
VECTICELL
CAPSAICIN
ENERGY
FOR YOUR
HAIR
&
SKIN
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VECTICELL

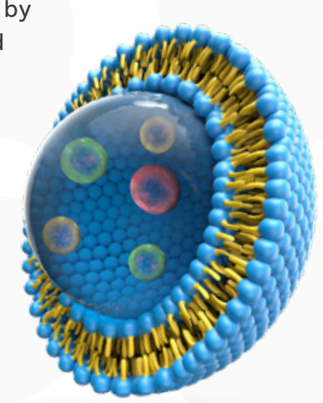
CAPSAICIN

Capsaicin

An organic chemical compound responsible for the spicy, burning taste of chili peppers. This substance dissolves in fats and alcohol. It affects pain receptors (nociceptors), causing the feeling of burning and spiciness in the oral cavity. It is widely used, among others, in food, pharmaceutical (production of anaesthetics) and cosmetic industries. Capsaicin is used externally as a medicine to warm joints and muscles (mainly in the form of ointments and patches). The conducted research allows to assign its properties: antioxidant, helping to reduce body weight, anti-pain, anti-bacterial and thermoregulatory

VECTICELL® Capsaicin

The active substance in VECTICELL® Capsaicin is a derivative of Capsaicin-capsaicinoid nonivamide. It is present in chilli peppers but can also be obtained by synthesis. It is characterized by an increased stability in relation to pure capsaicin and has an analogous effect to it. It has analgesic properties. By stimulating nerve endings in the skin it causes the widening of blood vessels, which results in a long-lasting effect of feeling warmth.



ENERGY

HAIR & SKIN

- Microcirculation improvement
- Reduction of water cellulite
- Improvement of fat burning
- Increase of the effectiveness of the supply of active substances and nutrients to hair
- Improved oxygenation of the scalp cells

VECTICELL® Capsaicin

Reduction of water cellulite

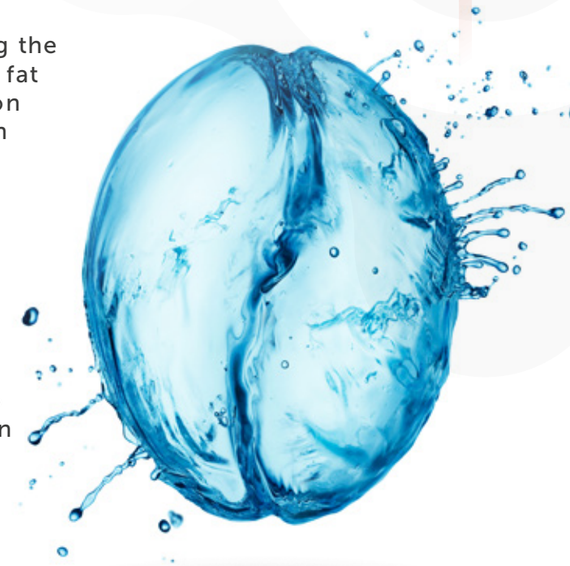
VECTICELL® Capsaicin improves skin firmness, acts on improved microcirculation. The combination of capsaicin with caffeine by increasing metabolism in tissues improves water management (reduces the so-called water cellulite).

Thermogenic effect

It acts as a thermogenic agent, by raising the temperature locally it influences faster fat hydrolysis, and higher heat generation means higher energy consumption by tissues/organism. Thus, the cells reach to energy reserves of fat tissue.

Skin condition

VECTICELL® Capsaicin also works on the scalp by increasing microcirculation in the blood vessels of the hair follicle, resulting in a more effective delivery of nutrients. This also affects improvement of oxygenation of skin cells.



RESEARCH

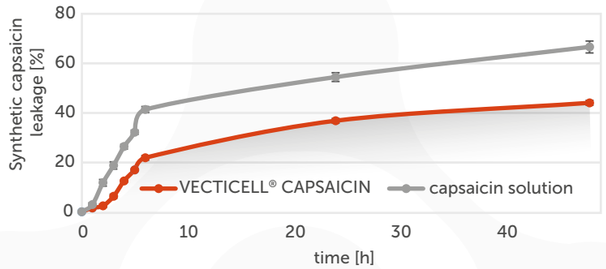
Release of active substances

The process of penetration of active substances through the stratum corneum is significantly influenced by their bioavailability. It is the release of active substances that is the first, key stage that determines their action in the target place. The aim of the study was to demonstrate a difference in the release profile of active substances, both caffeine and synthetic capsaicin (nonivamide) enclosed in a VECTICELL® carrier in relation to free forms of these substances. VECTICELL® Capsaicin solution and free active substances with the same concentration of active substances were dialysed into acceptor solution to monitor the change in free capsaicin leak over time. The measurement was monitored for 48 hours, each time determining the concentration of the released substance by HPLC.

Graph 1: Profile of synthetic capsaicin release over time.

RESULTS

Significantly prolonged process of release of the active substance

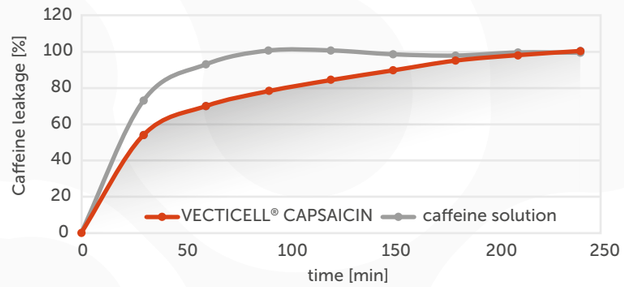


Graph 2: Profile of caffeine release over time.

Analogous release studies were conducted for another active substance in the formulation - caffeine. In this case, VECTICELL® carrier and caffeine solution of the same concentration as in VECTICELL® Capsaicin were dialyzed. The change in the concentration of the active substance was monitored within 6 hours.

RESULTS

Slower caffeine release



The VECTICELL® lipid bi-layer significantly prolongs the process of release of active substances in time in relation to their aqueous solutions, which translates into increased efficiency. Additionally, very slow (nearly 48-hour) release of synthetic capsaicin was observed, which in the case of its strongly warming properties stimulates microcirculation for a long time increasing the effectiveness of formulation.

Franz chambers

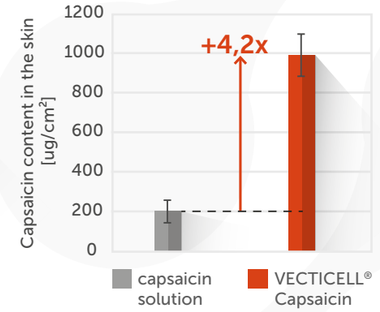
The influence of encapsulation in VECTICELL® of synthetic capsaicin and caffeine on the level of their bioavailability was verified by means of a transepidermal absorption test of active substances. The permeability test was performed using Franz's diffusion chambers on a model of pig skin on which VECTICELL® Capsaicin solution and free substances solution in the same concentration were applied. Permeability was monitored after each hour for 24 hours. After this time, the accumulation of active substances in the skin was measured. Thanks to the use of VECTICELL® carrier, a significant increase in the absorption of synthetic capsaicin in relation to the free substance solution and 4x increase in the absorption of caffeine in relation to the free active substance solution of the same concentration was observed (Figure 3-4).

Graph 3: Caffeine absorption in the skin.

RESULTS

Increase in absorption of synthetic capsaicin

4,2x

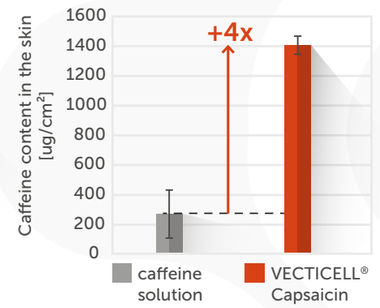


Graph 4: Capsaicin absorption in the skin.

RESULTS

Increase in caffeine absorption

4x



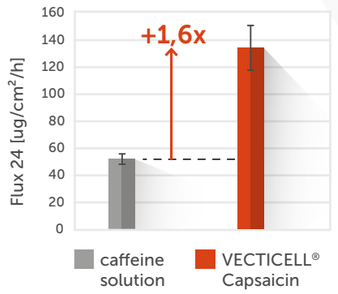
The ability to penetrate deep into the skin is determined by the properties of the carrier. The VECTICELL® delivery system is relatively small in size, which with high biocompatibility and lipid composition compatible with cell membrane lipids is a kind of penetration promoter. In vitro studies proved an increase in permeability for both enclosed active substances vs. free capsaicin solution during a 24-hour experiment.
After 24 hours a 160% increase in Flux value was observed for caffeine encapsulated in VECTICELL® liposome vesicles vs. free capsaicin solution. In the case of synthetic capsaicin, the increase in Flux is 20% (Figure 5-6).

Graph 5: Flux 24h value for caffeine.

RESULTS

The increase in FLUX of caffeine

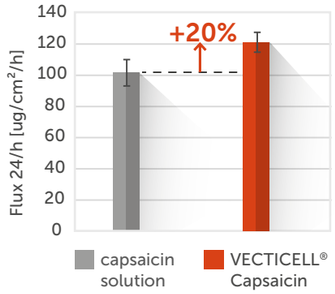
1,6x



Graph 6: Flux 24h value for synthetic capsaicin.

RESULTS

The increase in FLUX of capsaicin

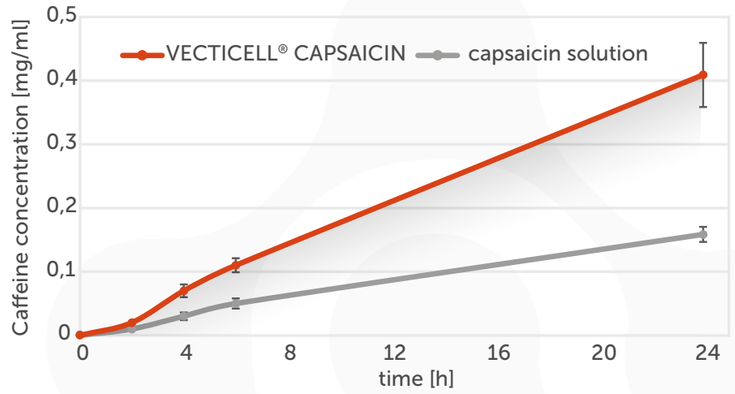


A significant difference in permeability of both synthetic capsaicin and caffeine was observed already after the first four hours of application. Thanks to the elastic lipid membrane, VECTICELL® carriers are able to penetrate through the epidermis to deeper layers of the skin Figure 7-8).

Graph 7. Penetration profile for caffeine.

RESULTS

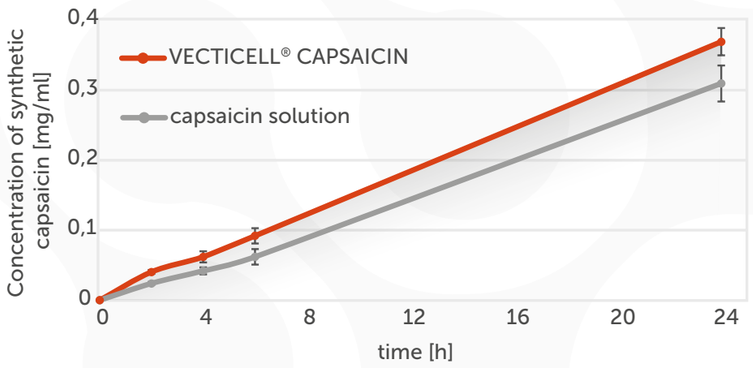
Definitely better penetration through the epidermis



Graph 8. Penetration profile for synthetic capsaicin

RESULTS

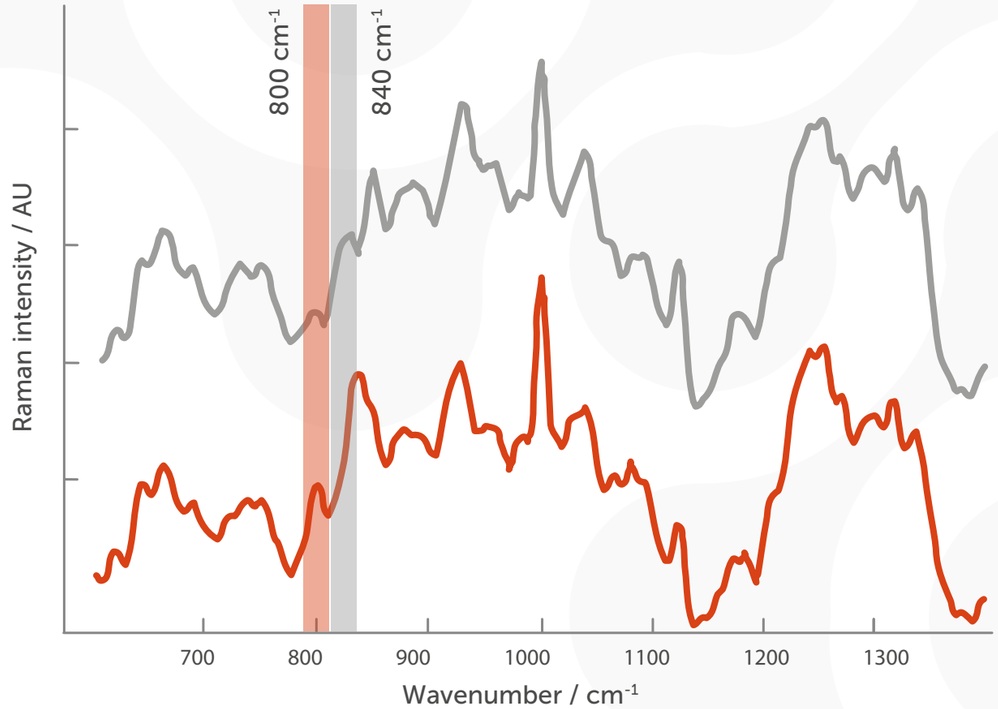
Definitely better penetration through the epidermis



Hair extraction

VECTICELL® Capsaicin carriers, thanks to their physicochemical properties, including positive charge on the liposome surface, have the ability to strongly adsorb to the hair structure and to penetrate into its depths (Raman Spectrum 1 studies).

Spectrum 1: Summary of representative examples of obtained Raman spectra for hair with the applied capsaicin.

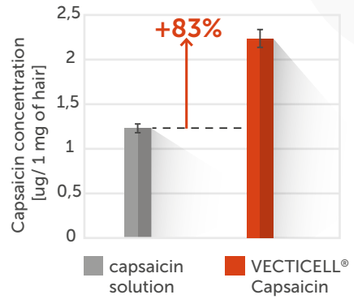


To confirm this effect, an experiment was conducted to analyze the change in capsaicin concentration, which penetrated the hair structure. For this purpose, the hair clumps were previously weighed and the following solution was applied to the surface: a) VECTICELL® Capsaicin b) reference solution with free capsaicin at the same concentration. The prepared hair was left for 30 minutes and then each clump was rinsed with water and a small amount of detergent to simulate the washing process. Each clump of hair was then dried with a paper towel to avoid diluting the sample. The next step was to extract the hair in isopropanol solution. The extraction time was 2 hours. After this time the solvent solution was collected for analysis on HPLC. The study showed a nearly 83% increase in the accumulation of synthetic capsaicin enclosed in VECTICELL® carrier vs. free capsaicin solution (Graph 9).

Graph 9: Extraction of synthetic capsaicin from hair structure.

RESULTS

Increase in the accumulation of synthetic capsaicin



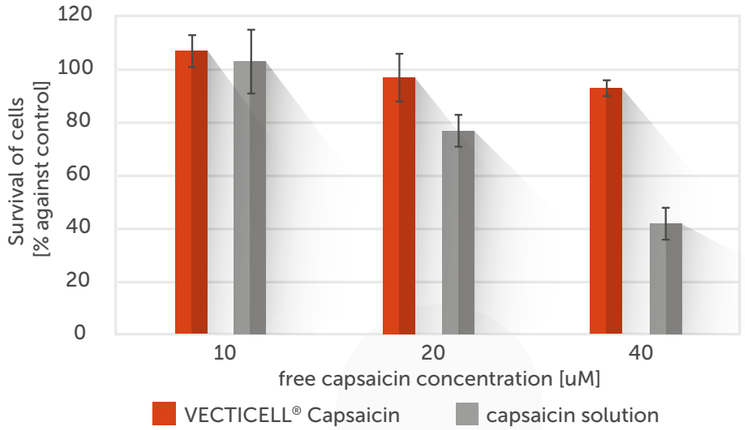
Cytotoxicity analysis

Cytotoxicity testing was performed using MTS test on human fibroblasts nHDF cell line. The culture was incubated for 48 hours for caffeine and synthetic capsaicin encapsulated in VECTICELL® Capsaicin. At the same time, comparative measurements were performed using a reference solution, i.e. free synthetic capsaicin solution.

Graph 10: Cytotoxicity of the substance compared to cell lines

RESULTS

Reduces cytotoxicity of VECTICELL® Capsaicin



It has been noted that with increasing concentrations of the active substance, the toxicity of the free capsaicin solution increases. For VECTICELL® Capsaicin formulation cytotoxicity is much lower, which is associated with a beneficial, protective effect of lipids building liposome vesicles in relation to cell membranes. This test confirmed the toxicological safety of the formulation.

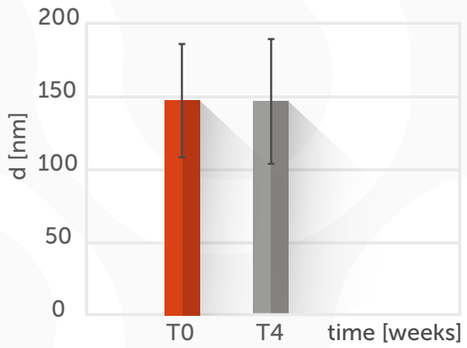
Stability studies

Liposomal carriers are systems that are very sensitive to adverse effects of the external environment, such as temperature, pH change, presence of metals or light. Additionally, they react very intensively to oxidative processes taking place in the system under the influence of oxygen. In order to confirm the formulation resistance, stability tests were performed for VECTICELL® Capsaicin, during which the formulation was stored at three temperatures: refrigerator, RT and ageing cabinet (40°C). The results obtained are presented below:

Graph 1: Change in size of VECTICELL® Capsaicin formulation during 4 weeks storage at 40°C.

RESULTS

VECTICELL® Capsaicin is a very stable formulation



In addition, no significant changes in pH or concentration of the encapsulated active substances have been observed. The obtained results allow to conclude that the formulation of VECTICELL® Capsaicin is a very stable formulation for adverse factors. This stability translates into efficiency during application.

Het-cam irritation test

The aim of the clinical trial was to determine whether the VECTICELL® Capsaicin formula is likely to cause irritation. The HET-CAM (Chicken Chorionic Urea Egg Test) is the test used to determine the irritant potential of a substance. It is an alternative to the Draize Rabbit Eye Test for acute cosmetic toxicity. Chicken embryos are widely used in toxicology. The chorionic urea membrane (CAM) of an embryo is a complete tissue containing organoidal elements from all layers of the reproductive cell. The CAM shows a comparable inflammatory response to injuries as that caused by rabbit eye tests. The chorionico-uria membrane is easy to examine and the test is painless for the embryo.

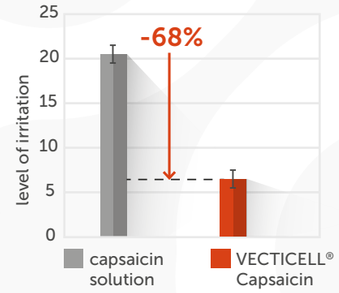
On the 10th day of incubation, hens' eggs are carefully opened and the chorio-uria membrane is exposed. A solution of the tested substances (300 µl) is applied to the prepared membrane and after 20 seconds the membrane is washed with isotonic sodium chloride solution. In time intervals: In the intervals of 30 sec, 2 min and 5 min the following changes were observed: congestion, hemorrhage or coagulation. The reaction time is used to calculate the irritation score and classify the substances based on the score. Test solutions of 0 to 0,9 are classified as non-irritant, results 1 to 4,9 as slightly irritant, results 5 to 8,9 as mildly irritant and results 9 to 21 as strongly irritant.

Based on the results obtained, medium irritant potential for the VECTICELL® Capsaicin formulation can be concluded, as opposed to strong irritant properties for a solution of free active substances of the same concentration.

Graph 12: HET-CAM test results.

RESULTS

Reduction of irritation



Additionally, dermatological tests were performed for hair mash containing 5% VECTICELL® Capsaicin. [INCI: WATER, PHOSPHATIDYLCHOLINE, PROPYLENE GLYCOL, CAFFEINE, CARBOMER, HYDROXYMETHOXYBENZYL PELARGONAMIDE, SODIUM CHLORIDE, CETRIMONIUM CHLORIDE, CITRIC ACID, DISODIUM EDTA, DEHYDROACETIC ACID, BENZYL ALCOHOL, TRIETHYLAMINE] did not show any positive reactions or irritation.

Ocena VECTICELL® Capsaicin

In the studies presented above, the high efficacy of VECTICELL® Capsaicin liposome formulation has been proven. VECTICELL® carriers are stable under storage conditions and at increased temperatures (40°C), and the resulting finished product in the form of a hair wipe is resistant to harmful factors and does not show any irritant effect. The substances closed in liposomes are released much slower than the solution of active substances of the same concentration, which strongly translates into increased effectiveness of the preparation. Additionally, the ability to penetrate through the epidermis to deeper layers of the skin and accumulations within it was noted. Thanks to positive surface charge on the surface of liposomes, adsorption of VECTICELL® carriers to the hair surface and penetration of active substances into their interior was observed.

TECHNICAL SPECIFICATION

Capsaicin

INCI
Aqua/Water, Phosphatidylcholine, Propylene Glycol, Caffeine, Hydroxymethoxybenzyl Pelargonamide, Sodium Chloride, Cetrimonium Chloride, Tocopheryl Acetate, Disodium Edta, Tocopherol, Dehydroacetic Acid, Benzyl Alcohol

Capsaicin Natural

INCI
Water/Aqua, Propanediol, Phosphatidylcholine, Caffeine, Capsicum Frutescens Resin, Tocopherol, Sodium Chloride, Citric Acid, Parfum

Description of the product
Opalescent solution.

Appearance
Opalescent, milky to milky-yellow solution.

Storage conditions
The product should be stored in covered rooms, protected from direct sunlight and at a temperature not exceeding: Capsaicin: 5-25°C, Capsaicin Natural: 2-8°C.

Shelf life
12 months from the date of manufacture.

Recommended formulation conditions
Shake the product each time before use.
It is recommended to add the product to the final product at the final stage of production, at a temperature not exceeding 35°C. Optimal formulation pH during raw material addition: 5,0 - 7,0. Alkaline pH is not recommended.

Soluble in water.
Recommended concentration: body: **3-5%**, hair: **1-3%**.

VECTICELL CAPSAICIN

The conducted studies confirm that due to the addition of caffeine, VECTICELL® Capsaicin affects the skin firmness, and thanks to the positive charge it is characterized by excellent absorption to the hair structure.

Benefits of using VECTICELL® Capsaicin:

- improvement of microcirculation,
- reduction of water cellulite,
- faster fat hydrolysis,
- improvement of oxygenation of skin cells,
- improvement of hair nutrition.

VECTICELL® Capsaicin penetrates deeply into the skin where it releases encapsulated active substances. The study showed a **4 times** increase of capsaicin absorption in the skin. Very slow release of capsaicin enclosed in the carrier results in high effectiveness. A markedly weaker irritant effect was confirmed by the HET-CAM test.

VECTICELL CAPSAICIN

Synthos CARE
Synthos Dwory 2
Spółka z ograniczoną
odpowiedzialnością
Spółka komandytowa

ul. Chemików 1, 32-600
Oświęcim, Poland

Customer Service Department
Tel. +48 33 847 42 47

Sales Department
Mob. +48 885 800 398

care@synthosgroup.com
www.synthoscare.com