

THEOPHYLLISILANE C®

EXSYMOL

INCI name : METHYLSILANOL CARBOXYMETHYL THEOPHYLLINE ALGINATE
CAS number : 128973-73-9

Origin

THEOPHYLLISILANE C® is a silanol obtained by condensation of a silicon derivative on theophylline acetic acid and alginic acid. The silicon derivative and theophylline acetic acid are obtained by synthesis, no derivative of animal origin is used. Alginic acid is obtained after extraction from brown algae, no derivative of animal origin. All silanols are endowed with some particular biological activities ; THEOPHYLLISILANE C® has been specially designed for lipolysis.

Analytical composition

Monomethylsilanetriol	0.34%
in wich silicon	0.1%
Theophylline acetic acid	0.87%
Alginic acid	0.1%
Water sq	100%

Technical characteristics

limpid to slightly opalescent liquid,
colorless to slightly yellow
pH : around 5.5
density, 20° C : around 1.0
miscible with water at room temperature,
not miscible with concentrated alcohols

Availability

5, 30 or 60 kg drums

Uses

Body contour (LIPOLYTIC, ANTI-CELLULITE)

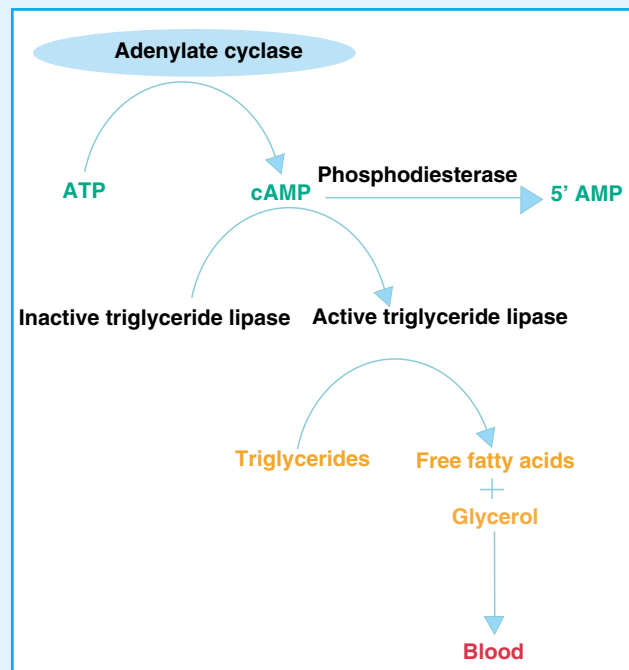
Body and face firming

Bags under the eyes / puffy eyes

Body and face moisturization

BIOLOGICAL ACTIVITIES

cAMP AND LIPOLYSIS

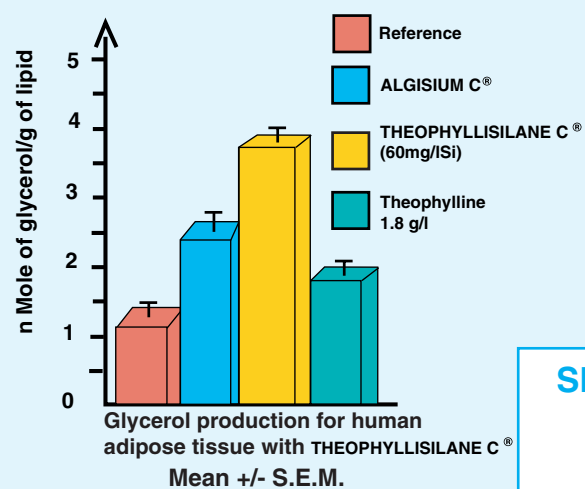


THEOPHYLLISILANE C[®] has a notable lipolytic activity. This activity is directly linked to SILICON content; liberated glycerol content increases with SILICON content.

After treating adipose tissue with **THEOPHYLLISILANE C[®]**, intracellular cyclic AMP concentration is increased in a significant way. The lipolytic activity obtained with **THEOPHYLLISILANE C[®]**, compared with results obtained with phosphodiesterase inhibitors, can not be only linked to this cAMP increase in concentration.

Hypothesis of a stimulative action on cAMP is advanced ; it can be due to SILICON. The lipolytic activity could be the answer to an activation of the membrane system, leading to adenylate cyclase formation, thus to cAMP synthesis which activates, by a series of reactions, the hormono-sensitive lipase.

RESULTS ON THEOPHYLLISILANE C[®] AND ALGISIUM C[®] FOR GLYCEROL PRODUCTION



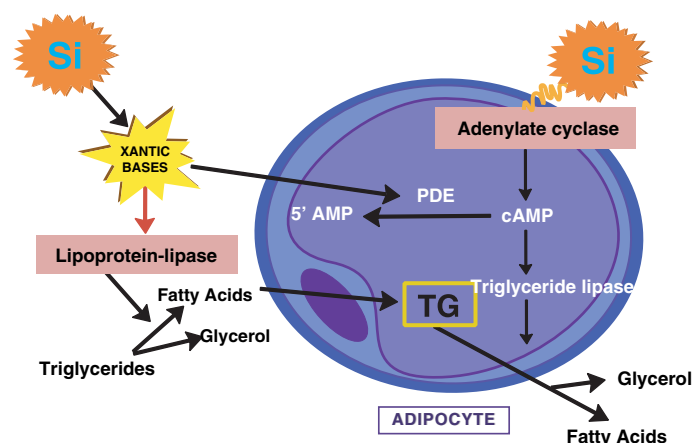
SLIMMING ACTIVITY

THEOPHYLLISILANE C[®] and **ALGISIUM C[®]** have been tested for glycerol production due to their activity on adenylate cyclase, promoting lipolysis.

In vitro study has shown that the lipolytic activity induced by **THEOPHYLLISILANE C[®]** was higher than the lipolytic activity of **ALGISIUM C[®]** and theophylline.

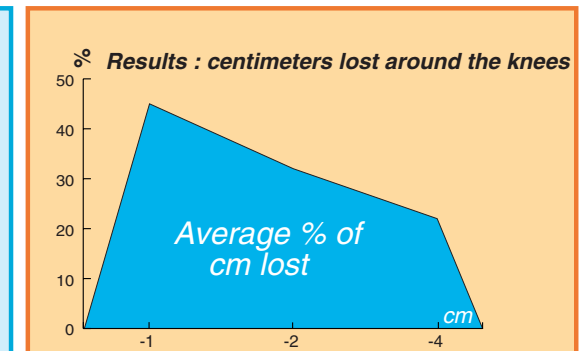
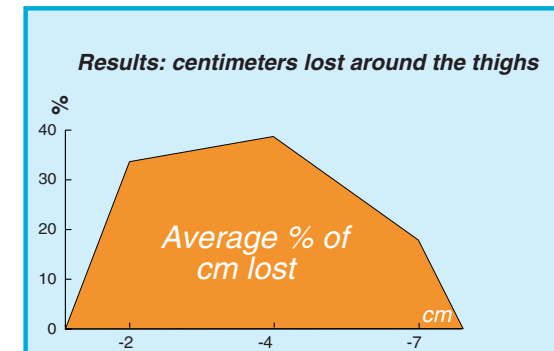
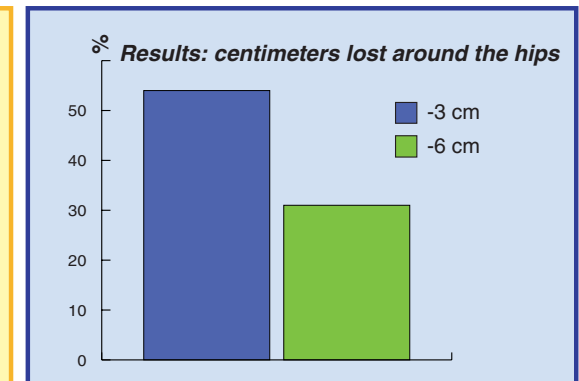
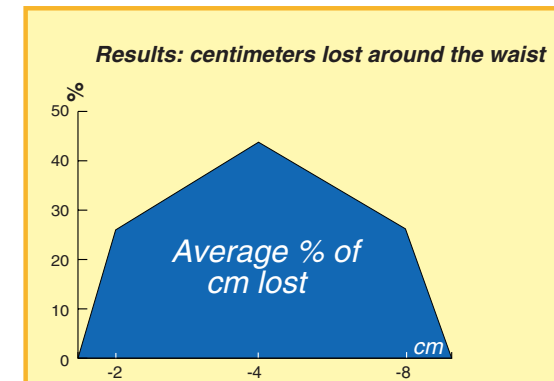
This slimming activity comes along with the regeneration of the surrounding connective tissue, leading to a better microcirculation which normalizes cellular exchanges and improves the skin aspect.

SIMPLIFIED OUTLINE OF LIPOLYSIS MECHANISMS



CLINICAL TEST : ACTIVITY OF THEOPHYLLISILANE C[®] ON LIPIDIC OVERLOADS

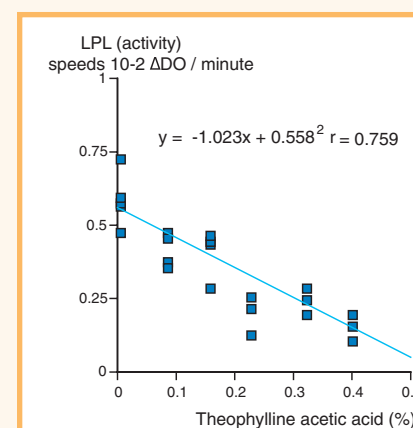
25 volunteers with different types of cellulite were selected for this study. After twice applications per day during 30 days, the centimeters lost were measured for waist, hips, thighs and knees. The results evaluated on centimeters-loss are summarized in the graphs below :



THEOPHYLLISILANE C[®] has remarkable effects on :

- lipolysis in the adipocytes which confirms the results of the experiment on adipocytes *in vitro*.
- the trophicity and degeneration of the proteoglycans or acid mucopolysaccharides in elastic fibres and collagen.
- on tissular permeability and thus the resorption of local oedema.

EFFECTS OF THEOPHYLLINE ACETIC ACID ON THE LIPOPROTEIN LIPASE



THEOPHYLLISILANE C[®] is obtained from theophylline acetic acid. This compound is well known to inhibit phosphodiesterases and enhance the cAMP concentration in the cells. Cosmetic application of this pharmacologic activity is the cAMP dependent lipolysis. Concerning its activity on fatty acids metabolism, theophylline acetic acid inhibits the lipoprotein lipase (LPL). Inhibition of LPL by theophylline acetic acid was studied *in vitro*. The graph on the left shows the activity level of the lipoprotein lipase depending on the concentration in theophylline acetic acid (**THEOPHYLLISILANE C[®]** is obtained from 0.87 % of theophylline acetic acid).

As other compounds of the same family (caffeine and theophylline derivatives), results show that theophylline acetic acid inhibits the activity of LPL in a dose dependent manner.

Tolerance study

The product is neither toxic nor irritant.

Tolerance has been studied *in vitro* by alternative methods on both cell culture and reconstituted epidermis. Ocular tolerance is evaluated by studying cytotoxicity on cornea isolated fibroblasts culture. Cutaneous tolerance is evaluated on reconstituted epidermis by valuation of cells viability after a contact period of 24 hours with the product.

Formulation

THEOPHYLLISILANE C[®] is stable for pH included between 3,5 and 6,5. On average, the recommended concentration is 3 to 6%.

Important remark : **THEOPHYLLISILANE C[®]** must not be stored at temperature inferior to 0°C otherwise an irreversible polymerization might occur.

Existing studies

Technical document

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Lipolytic activity in vitro : adipocytes

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Tolerances investigations

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Activity on lipidic overloads “clinical test”

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Toxicity Tolerance - alternative methods