

PRO-D.S.B.

Pro dimethylsilanediol salicylate
(an oil solution dosed at 10 %)

INCI denomination : DIMETHYL OXOBENZO DIOXASILANE / ETHYLHEXYL PALMITATE

MHW database n° : 21200CZY00064000

Chemical family

Pro-D.S.B. is a silicon organic derivative, chemically pure, oil monomer to formulate under certain imperative conditions : without water or alcohol. With a gentle hydrolysis at the time of the application on the skin or the mucous, it will form a biologically active SILANOL, very rich in hydroxyl functions. It possesses the biological properties peculiar to SILANOLS integrating an answer given by the salicylate radical presence.

Analytical composition

Salicylate dimethylsilyl	100.0 g
of which silicon	14.5 g
octyl palmitate qs	1000.0 g

Technical characteristics

limpid liquid, slightly opalescent with yellow shades
refraction index 1.45
density at 25°C about 0.850
oil miscible
incompatibilities : water, alcohol, glycol
(quick hydrolysis)

Availability

drums of 1, 5, 30 liters

Uses

Soothing and anti-inflammatory care products

(products for skins with acne problems, sensitive skins, sun and after-sun products, after-shave, post depilatory, peeling in order to restore the functional pH of the skin...)

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Body and face moisturizers

(moisturizer action due to SILANOL structure potentialized by a sensation of soothing activity)

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Anti-ageing : prevention

(antioxidant and restructuring activity due to the SILANOL structure)

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Colour cosmetics and treatments : mascara and lipsticks

(in small quantities 0.5 to 2‰ for restructuring and protection against oxidative phenomena)

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Hair products and nail treatment

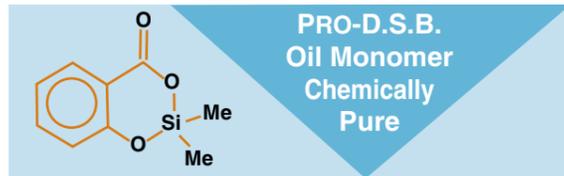
With a silicon content of about 0.5‰, it allows to stimulate hair and nail metabolism.

We observe a stop of the hair loss, a modification of the nails fragility and in both cases a growth acceleration.

BIOLOGICAL ACTIVITIES

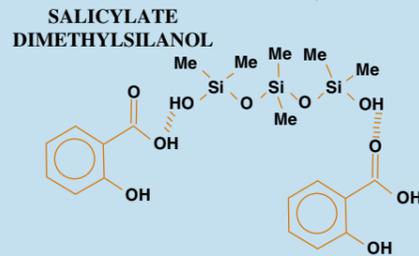
Mecanism, action and Pro-Drug interest

PRO-D.S.B. is the D.S.B. C precursor

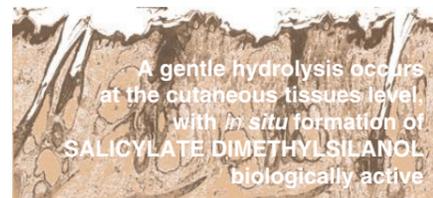


Experiment demonstrates that by a controlled and gentle hydrolysis

an hydrosoluble oligomer is formed (Hydrogen bonds)



IN COSMETIC, whatever the formulations, they should not contain any water or alcohol.

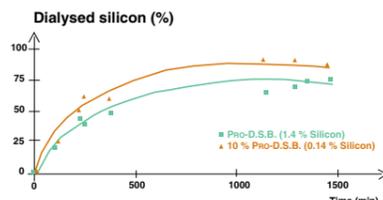
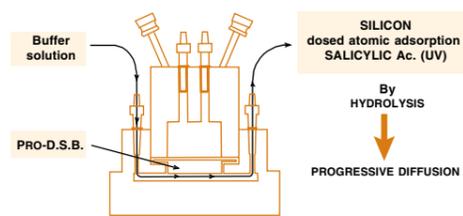


The skin thus creates an active SILANOL at its rhythm

Diffusion study

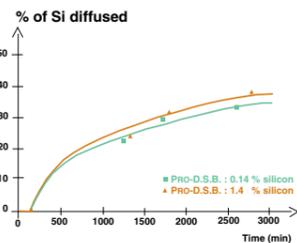
HYDROLYSIS ON SYNTHETIC MEMBRANE

Cross section of a diffusion chamber

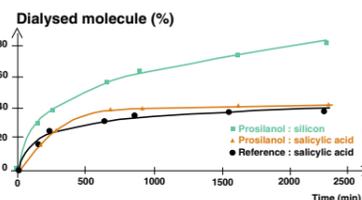


HYDROLYSIS ON EPIDERMIC TISSUES

• Reconstituted human epiderm (4 layers)



• Murine epidermic fraction



We note :

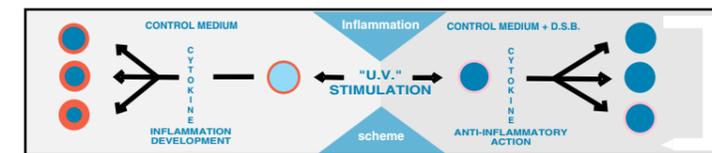
- a gentle and slow cutaneous hydrolysis
- a SILANOL progressively available, the D.S.B. C without polymerization
- the possibility of having treatments adapted and tolerated by respecting the chronobiological rhythms

Anti-inflammatory properties

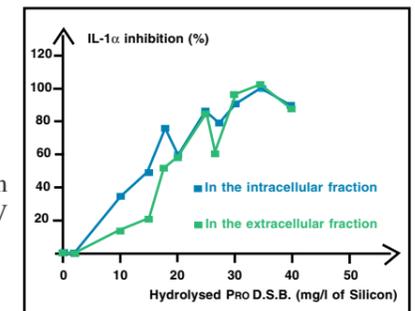
In vitro study

IL-1 α and IL-1 β are recognized by the same receptors and have the same biological activity. Keratinocytes secrete the two types of IL-1, but especially IL-1 α . This synthesis can result from some stimuli : UV irradiation, thermic or physical aggression, phorbol ester.... giving an inflammation. The experimentations have been carried out on PRO D.S.B. after hydrolysis.

Inhibition by D.S.B. of IL-1 α production by cultured keratinocytes submitted to UV



Transformed keratinocytes NCTC 2544 in culture in a MEM medium supplemented with 10% of foetal calf serum are submitted to an UV irradiation (312 nm, 50 mJ/cm²) with or without D.S.B.



Thanks to the anti-inflammatory properties of salicylate dimethylsilanediol released after hydrolysis, PRO-D.S.B. is an active of choice in the formulation of soothing cosmetic products.

Besides, it possesses all the properties due to the SILANOL' structure : moisturizing and repairing, seeing that silicon is an essential element for the connective tissue structure.

The PRO-D.S.B. because to its last minute biodisponibility has a high content of Si-OH and induces a regulatory and cytoestimulative activity at the metabolic level. It is capable of stopping or reversing some degenerative processes.

IL-1 α produced by the cells is determined by the E.L.I.S.A. immunoenzymatic technique.

Intracellular IL-1 α represents the stimulus influence on cells. The curve here above clearly confirms that D.S.B. released after hydrolysis of PRO-D.S.B. inhibits the IL-1 α production by the keratinocytes submitted to an inflammatory stress. This effect is significant and dose-dependent.

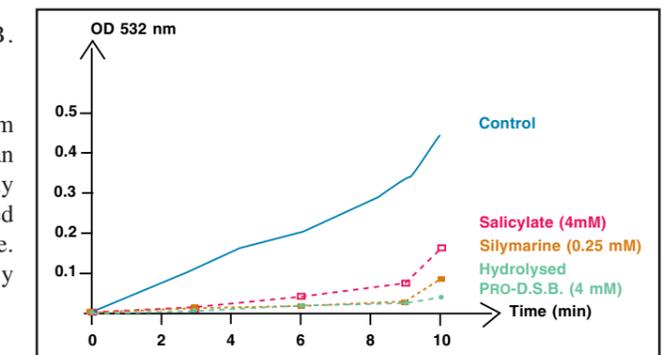
Anti-free radical properties

We have evaluated the SILANOL ability, obtained after hydrolysis, to inhibit the desoxyribose oxidation into MDA (malondialdehyde) by the free radical OH $^{\circ}$ generated by the Hypoxanthine/Xanthine oxidase enzymatic system. The reaction is quantified by spectrophotometry : O.D. reading at 532 nm.

Scavenging effect of hydrolysed PRO-D.S.B. (contains salicylic acid 4 mM)

D.S.B. C generated by the PRO-D.S.B. possesses a free radical scavenging effect.

PRO-D.S.B. under the hydrolysed form possesses an anti-free radical activity, as we can see it on the opposite diagram. This activity expresses itself in a important way as compared to the silymarine which is a flavonoid mixture. We observe that the salicylate radical fully plays its role of scavenger.



Tolerance study

Tests carried out *in vivo* show that the product is neither toxic nor irritating at the recommended dosage. These tests consist in studying :

- the oral acute toxicity on mice,
- ocular irritation on rabbit,
- primary cutaneous irritation on rabbits,
- cutaneous irritation by repeated applications on rabbits,
- sensibilizing power on healthy volunteers,
- photosensitization on healthy volunteers (phototoxicity and photoallergy).

We have also studied the tolerances by alternative methods (study of cutaneous and ocular tolerance potential) carried out *in vitro* either on cell culture, or on a reconstituted epidermis. The ocular tolerance potential is evaluated by the cytotoxicity study on cultured fibroblasts isolated from rabbit-cornea. The cutaneous tolerance potential is evaluated on reconstituted epidermis by an evaluation of the cell viability after 24 hours of contact with the product.

Formulation

PRO-D.S.B. is a stable solution at 10% of active in the octyl palmitate or other ester. It must imperatively be formulated without water or alcohol. The recommended concentration is on an average of 0.2 to 1%, but it must reach 3 or 4% in the anti-hair loss formulations.

Studies carried out

Technical document

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Diffusion study at the cutaneous level with the hydrolysis diagram and the biodisponibility confirming the activities here under quoted

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Anti-free radical activity

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Evaluation of the *in vitro* anti-inflammatory power of SILANOL, formed after hydrolysis

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Interest of a prosilanol : curative and preventive effect of Pro-D.S.B. formulated in a lipophilic serum

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Tolerances

(acute toxicity, evaluation of the tolerance on man, alternative methods)