

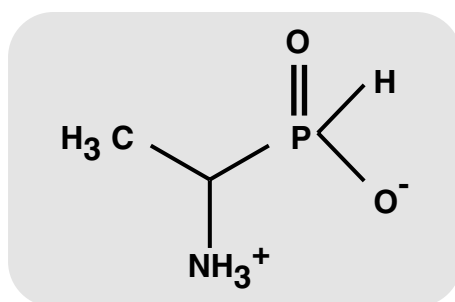
ALBATIN®

EXSYMOL

Hydroglycolic solution (water : butanediol-1,3) containing 22.5% of 1-aminoethylphosphinic acid
INCI Denomination : AMINOETHYLPHOSPHINIC ACID (and) BUTYLENE GLYCOL
(and) WATER

Chemical definition

ALBATIN® is a solution of 1-aminoethylphosphinic acid, or Ala-P
(phosphinic analogue of alanine),
melanogenesis inhibitor, stable in aqueous solution.



Analytical composition

1-Aminoethylphosphinic acid	22.50 g
Butanediol-1,3	7.70 g
Sodium methyl parahydroxybenzoate	0.15 g
Citric acid monohydrate	0.20 g
Water sq	100.00 g

Technical characteristics

Liquid :	limpid, colorless to slightly yellow
pH :	around 4
Density at 20° C :	around 1.1
Miscible with water, glycols and alcohol.	
Not miscible with hexane, mineral and vegetable oils.	

Availability

1, 5 or 30 kg drums

Cosmetic uses

Skin lightening products

Anti age-spots products

ALBATIN® can be formulated alone or in association,

in day care products,

anti age spots creams

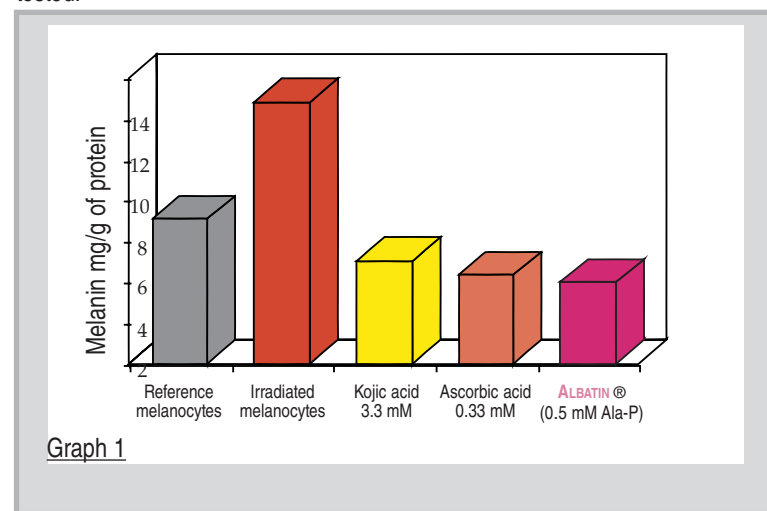
and skin lightening products.

BIOLOGICAL ACTIVITIES - A NON-TYROSINASE INHIBITOR ACTIVE FOR SKIN LIGHTENING

ALBATIN®

IN VITRO ACTIVITY : MELANOGENESIS INHIBITION ON CULTURE OF S91 MELANOCYTES

The inhibition potential of **ALBATIN®** is evidenced by quantification of the melanin formed after UV irradiation (30 mJ/cm² and 285 nm) of cultures of S91 melanocytes, which are further incubated (16 hours) with the actives to be tested.



Graph 1 (here above) shows that the melanogenesis inhibition potential of **ALBATIN®** is as efficient as that of kojic acid and ascorbic acid at doses commonly used for *in vitro* tests.

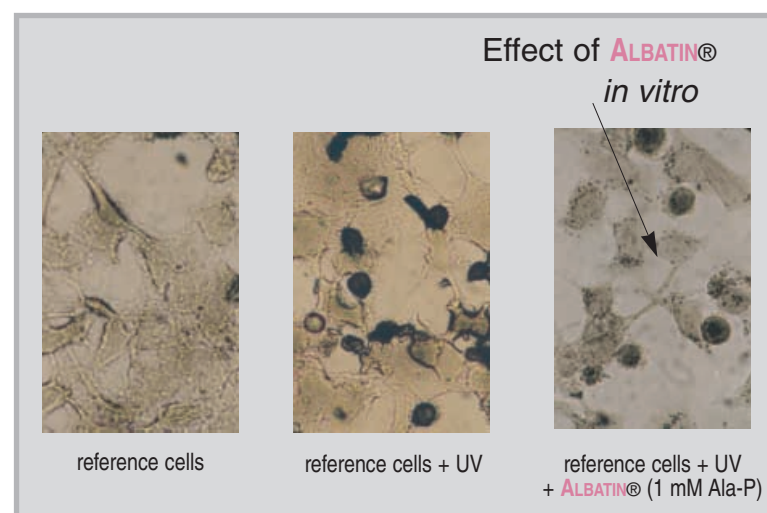


Figure 2 : The pictures show the efficacy of **ALBATIN®** on cultures of DOPA positive S91 melanocytes submitted to UV irradiation (3 x 50 mJ/cm²).

- **ALBATIN®** is a stable solution of Ala-P (Graph 4).
- **ALBATIN®** is not cytotoxic.
- **ALBATIN®** is not an inhibitor of the enzymatic activity of tyrosinase.
- **ALBATIN®** is involved in other steps of melanogenesis.

By stabilizing DOPochrome (Graph 2) and inhibiting the enzymatic activity of the DOPochrome tautomerase (Graph 3), **ALBATIN®** opposes further spontaneous polymerization and thus limits the formation of melanin (Graph 1).

- **ALBATIN®** maximizes the melanogenesis inhibiting properties of other skin lighteners (e.g. Arbutin).

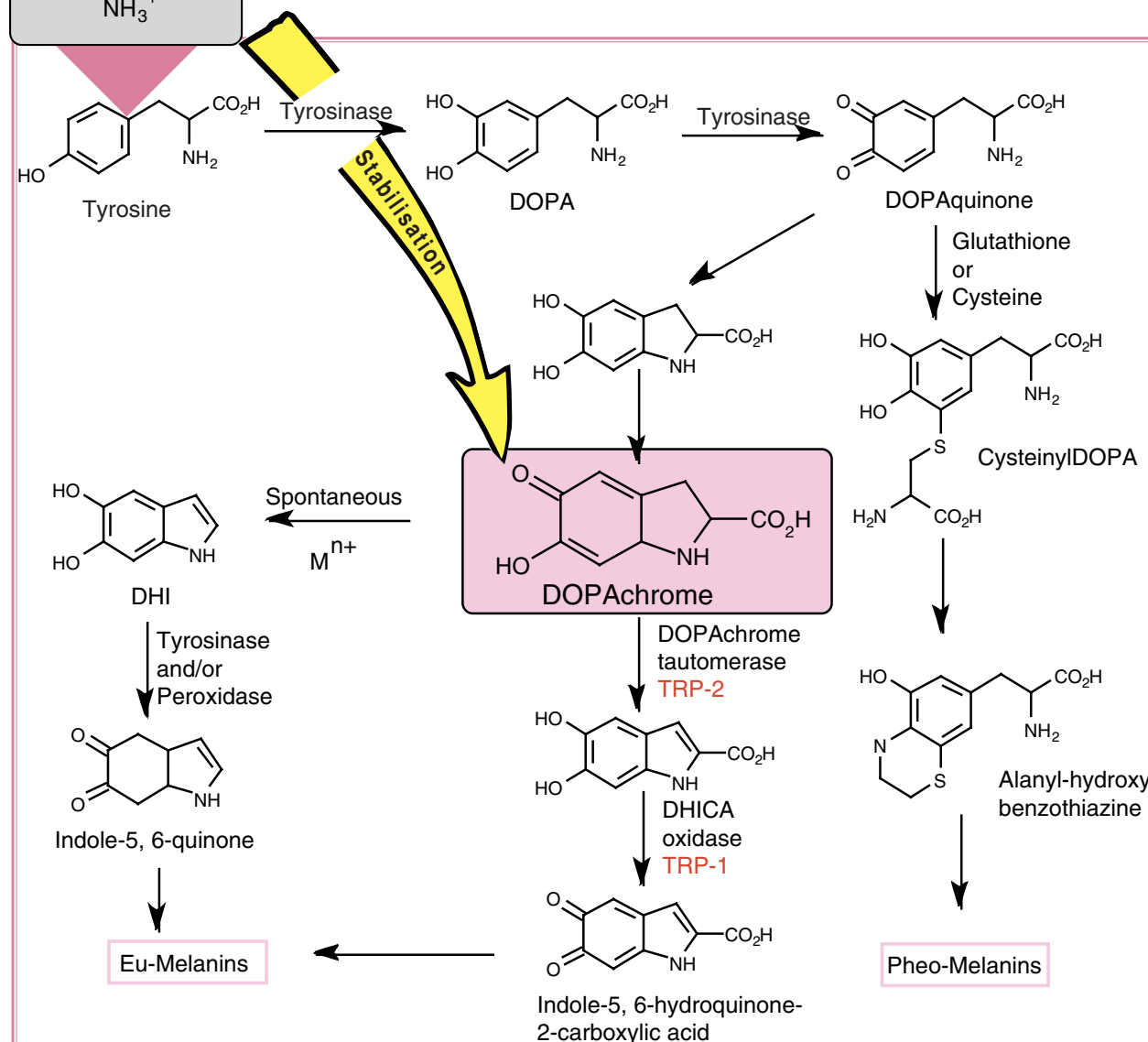
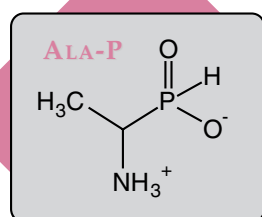
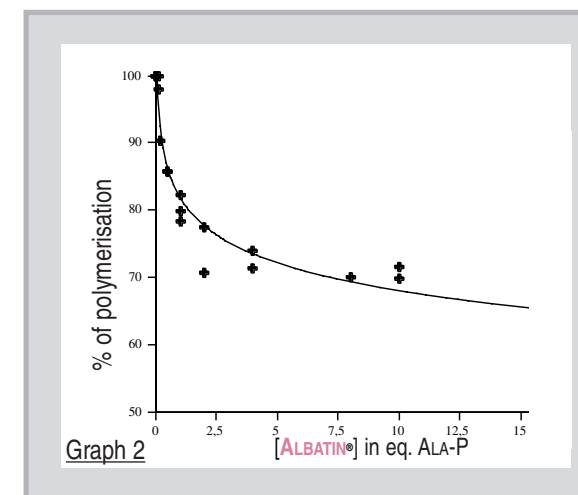


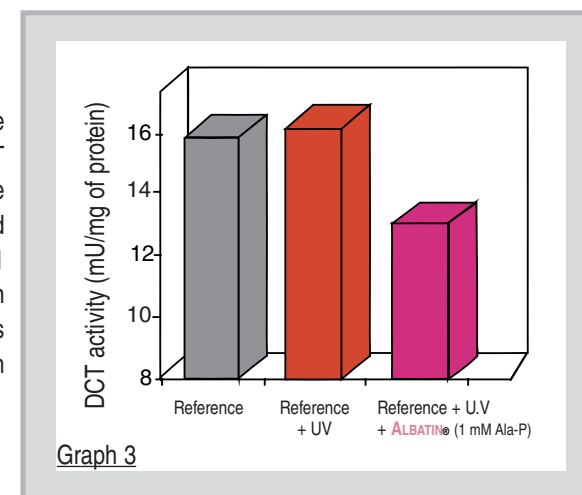
Figure 1 : Biosynthesis of melanine in melanocytes.

MECHANISM OF ACTION OF ALBATIN®



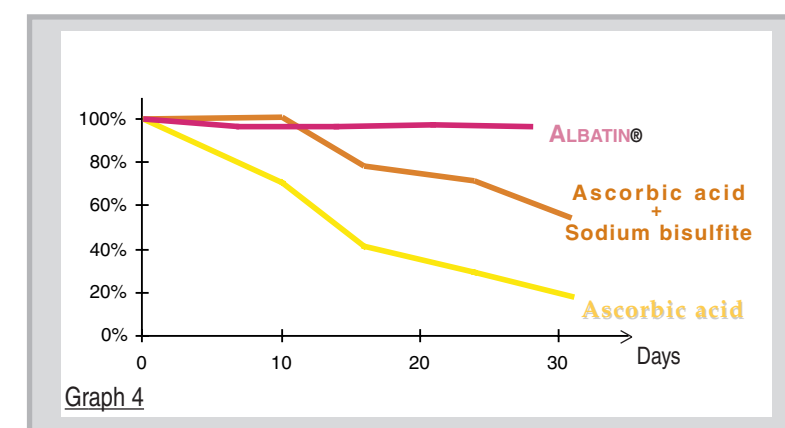
As for its mechanism, **ALBATIN®** opposes the oxidative polymerization of DOPochrome, since a dose-dependent inhibition of the formation of polymers (melanin) is observed when **ALBATIN®** is used (Graph 2).

A decrease of the enzymatic activity of DCT (DOPochrome tautomerase), measured on cultures of S91 melanocytes, has been evidenced when the cells were treated with **ALBATIN®** (Graph 3).



This inhibition of the enzymatic activity of DCT may be explained by a stabilization of Dopochrome.

STABILITY



The graph shows a very good stability of **ALBATIN®** in aqueous solution compared to that of ascorbic acid, over a period of 1 month (Graph 4).

Tolerance study

The tests performed *in vitro* and *in vivo* show that the product is not irritant :

1. *In vitro* (alternative methods) :
 - ocular irritation (on fibroblasts culture isolated from rabbit cornea)
 - cutaneous irritation (on reconstituted epidermis)
 - genotoxicity
 - phototoxicity
2. *In vivo*
 - photo-sensitization, irritation and sensitization on healthy human volunteers

Formulation

ALBATIN® is a hydroglycolic solution, stable at pH between 3 and 9. It is recommended to use **between 0.5 and 1.5%** when **ALBATIN®** is used as sole melanogenesis inhibitor. Its activity would be optimized should **ALBATIN®** be associated with other melanogenesis inhibitors such as ascorbic acid and derivatives, kojic acid, arbutin,...

ALBATIN® can be formulated without restriction into the aqueous phase of a gel, of an emulsion or a solution.

Studies

(available on request)

Technical data

*

Melanogenesis study on cultured S91 melanocytes

*

Effect of ALA-P on Dopachrome

*

Interest of associating **ALBATIN®** with arbutine or kojic acid to improve the inhibition of melanogenesis

*

Evidence of lightening activity of **ALBATIN®** on tanned reconstituted epidermis

*

Ocular irritation, cutaneous irritation (alternative methods), genotoxicity, phototoxicity, sensitization on human volunteers.