

Albacan™, A Novel Natural Skin Lightening Agent

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Abstract

Paper Mulberry root bark extract was found to be a potent inhibitor of tyrosinase enzyme. The major constituent was identified and found to be fourteen times more potent than hydroquinone.

A novel proprietary blend, Albacan™, was prepared using carefully selected ingredients in proper amounts, containing Paper Mulberry root extract, Bearberry leaf extract and a debridement enzyme together with appropriate co-factors, activators and stabilizers in order to achieve maximal activity and minimize or eliminate any irritation or side effect.

Independent laboratory testings proved that 4.4% Albacan™ containing 0.0375% of Paper Mulberry compound was as potent as 1.2% Arbutin or 1% Kojic acid.

Introduction

Skin lightening products are becoming increasingly popular and in high demand all over the world. The most commonly used lightening agents are: Kojic acid, Kojic dipalmitate, Arbutin, hydroquinone and magnesium ascorbyl phosphate. Our goal is to develop a skin lightening blend from plant extracts which would have similar or better effects than the mentioned chemical agents. Plant products would be natural, safer, less irritant and non-toxic by percutaneous absorption.

In a previous publication⁽¹⁾, we reported on developing a quite promising blend, Albacan™. This product showed unique skin lightening properties when tested by an independent laboratory.

Results and Discussion

Albacan™ is a registered trademark for a novel

skin lightening blend of ingredients from natural source, exerting a potent synergistic effect, when put together, with much less irritation than when each ingredient is applied separately to induce a similar effect using a much higher concentration.

This unique blend is Patent pending and its main ingredients consist of appropriate effective ratios of:

1. Standardized Paper Mulberry powdered extract.
2. Standardized Bearberry leaf powdered extract.
3. A special natural debridement enzyme.
4. Co-factors, Activators, Stabilizers

The first ingredient, Paper Mulberry extract, is obtained from the root of *Broussonetia kazinoki*, Siebold. or *B. papyrifera*, Vent. Tabl. Regn. Veget. or hybrids of both, family Moraceae. Extracts of this root are potent inhibitors of Tyrosinase enzyme⁽²⁾. The active constituents present in the extract are Prenylated, polyhydroxylated mono- and bis-phenyl derivatives. The major active constituent of authentic Paper Mulberry root-bark extract has been successfully isolated and its structure determined by UV, IR, ¹H-NMR, ¹³C-NMR and Mass spectrometries⁽³⁾. Its chemical structure is shown in **Figure 1**.

The second herbal ingredient - Standardized Powdered Extract of Bearberry, is obtained from the leaf of *Arctostaphylos uva-ursi* (L.) Spreng., family Ericaceae. It contains natural skin lightening glycosides of hydroquinone, mainly Arbutin, methyl Arbutin and piceoside, together with hydrolysable tannins of the gallic acid type (**Figure 2**).

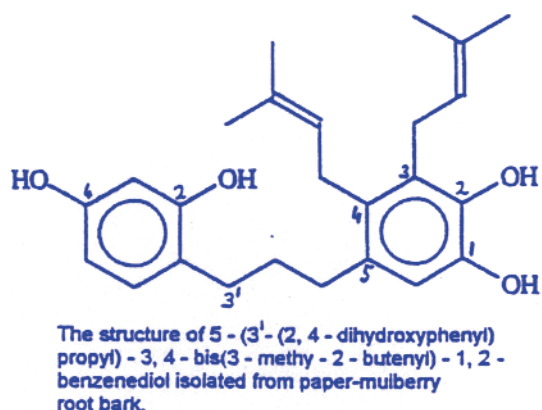


Figure 1.

The crucial and first step in melanin formation is the oxidation of the amino acid tyrosine to dopaquinone catalyzed by copper - containing Tyrosinase. The rest of the reaction sequence proceeds spontaneously at physiological pH. A compound which inhibits Tyrosinase activity would hinder melanin formation. Tyrosinase activity is usually analyzed by spectrophotometry, using the

method described by Vanni, et al⁽⁴⁾. In this test, the concentration of Paper Mulberry compound causing 50% inhibition of Tyrosinase activity (IC₅₀) was much lower than that of hydroquinone, Kojic acid or ascorbic acid (Table 1).

According to Jang, et al⁽³⁾, Paper Mulberry Compound was effective in in vivo guinea pig depigmentation test, and showed no primary irritation and sensitization potential on human skin and in rabbit eye - irritation tests*.

The third ingredient, present in Albacan™, is a minimal effective concentration of a natural debridement enzyme. The rest of the ingredients are the necessary co-factors, activators and stabilizers which have been carefully chosen so that they can, at the same time, induce a potentiating effect on the main skin lightening ingredients.

A very reliable testing protocol, using the in vitro Melanoderm (Mat-Tek) model of human epidermis based on the work of Majmudar et al⁽⁵⁾, was worked up with an independent reputable laboratory. The details of the testing procedures are confidentially kept, in duplicate, at Bio-Botanica®, Inc. and the concerned testing laboratory.

Table 1. Concentration causing 50% inhibition of Mushroom Tyrosinase (IC₅₀) for common inhibitors as compared to Paper Mulberry compound⁽³⁾.

MATERIAL	IC ₅₀ (ug/ml)
Paper Mulberry Compound	0.396
Hydroquinone	5.5
Kojic acid	10.0
Ascorbic acid	70.0

Final Report Summary

Client: Bio-Botanica®, Inc.

Study no.: V00-0002

Reference: Purchase Order Number: 026361-00

Test Articles**:

- 1) AU powder, Lot #980518-A
 - 2) Albacan Powder, Lot #SVK004-62
- Test Article Receipt Date: January 10, 2001 to February 11, 2001

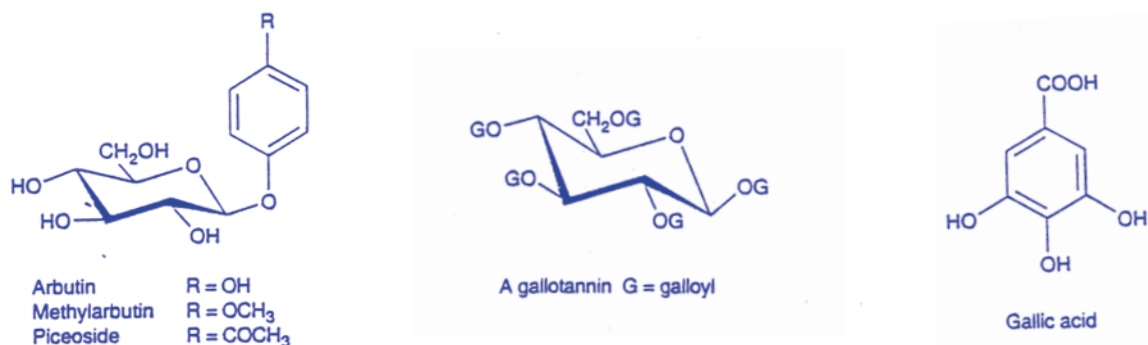


Figure 2.

*Albacan™ and other products prepared at Bio-Botanica®, Inc. are not subjected to any animal testing.

**Test article #1 is a powdered extract of *Arctostaphylos uva-ursi* leaves standardized to 20% Arbutin.

Test article #2 is Albacan™ - Bio-Botanica's proprietary blend.

A Method for Screening Skin-Whitening Products Using The MatTek Corporation MelanoDerm Tissue Model In Vitro Testing System

Method:

MatTek Corporation's MelanoDerm Tissue Model (MEL-300-B & MEL-300-C) in vitro testing system was utilized to evaluate the skin whitening potential of the two test articles and a positive control article (kojic acid). A detailed accounting of the exact methodology follows. Test article #1 dosed at 3% in 10% propylene glycol in distilled water. Test article #2 was dosed at 4.4% in 10% propylene glycol in distilled water. The Kojic acid positive control was dosed at 1% in distilled water. Negative control tissues were untreated.

Results:

The photographs taken during the biophase of the study indicate that the test and positive control articles elicited a 'skin whitening effect'. The evaluations of the preserved tissue specimens, performed at MatTek Corporation, include a comparative gross darkening photograph (indicating that test article #2 elicited results similar to the positive control while test article #1 was about half as potent).

According to the given report summary, it can be concluded that:

1% Kojic acid = 6% A. *uva-ursi* PE (20% Arbutin) = 4.4% Albacan™ Blend

In other words, Albacan™ blend is much more potent than A. *uva-ursi* alone (especially if we take into consideration the high amount of adjuvants present in the blend beside A. *uva-ursi* PE and Paper Mulberry PE). It was found that the addition of 10% of the Paper Mulberry compound to Arbutin (as calculated from their concentration in Albacan™) quadruples its skin lightening effect.

The testing report includes five Biophase photos and 22 Histomicrograph photos and all of them prove the same conclusion. In our opinion, by looking to the different photographs, all of them show clearly that 4.4% Albacan™ is even more effective than 1% Kojic acid, which is the positive control and the testing laboratory chose to be more conservative in its statement.

Figure 3 is the compiled biophase photograph and Figure 4 shows the Histomicrograph taken on day 14 for negative control, 1% Kojic acid (positive control) and test articles #1 and #2.

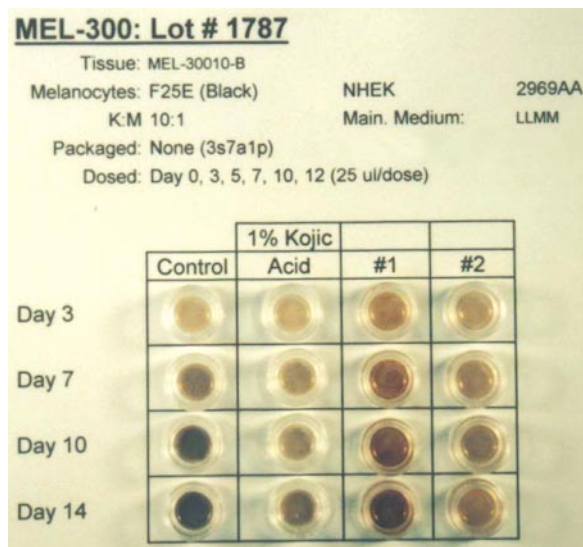


Figure 3.

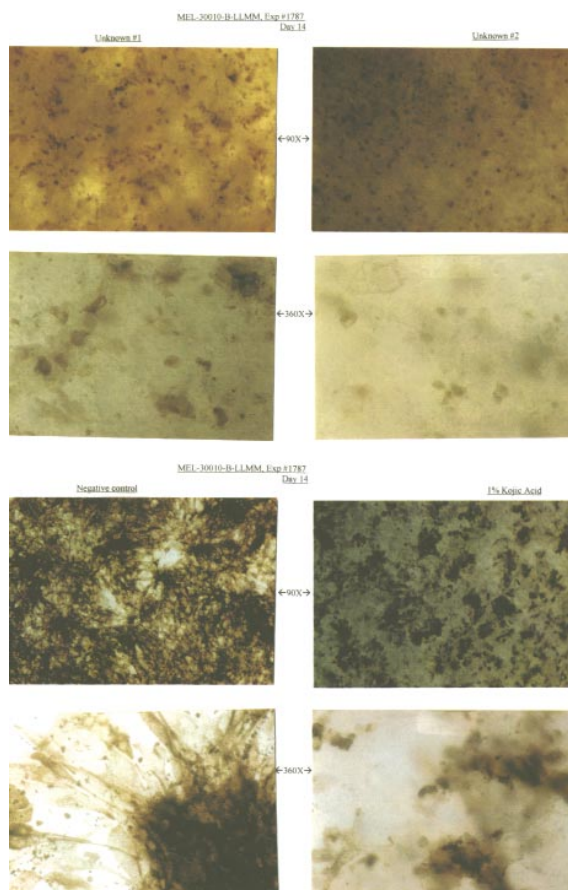


Figure 4.

Trade names with assigned INCI names:

Tradename: Albacan
 INCI Name(s): Propylene Glycol
 Broussonetia Kazinoki
 Root Extract
 Arctostaphylos
Uva Ursi Leaf Extract

Literature Cited

1. F.S. D'Amelio, Sr. and Y.W. Mirhom, *Cosmetics and Toiletries Manufacture Worldwide*, p. 31, Aston Publishing Group, U.K. (2000).
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4. Vanni, D. Gastaldi and G. Giunata, *Annali di Chimica*, 80, 35 (1990).
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About the Authors

Frank S. D'Amelio, Sr. has over 31 years experience in the botanical industry. He is the founder and CEO of Bio-Botanica® and is an associate referee on botanical drugs for the association of Analytical Chemists. He is the author of a number of published articles and most recently a book, 'Botanicals: A Phytocosmetic Desk Reference'.

Dr Youssef Wissa Mirhom is a Professor of Pharmacognosy and Medicinal Plants. He has 63 original scientific publications on medicinal plants, has lectured at more than 43 national and international conferences and has served on several international committees.

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