

Inhibitory Effects of *Phyllanthus emblica* Tannins on Melanin Synthesis*

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ABSTRACT: A standardized extract of *Phyllanthus emblica* (Syn. *Embllica officinalis*) fruits has been shown to be a safe and effective skin lightener for normal and hyperpigmented skin as demonstrated by several pilot clinical studies. This report shows melanin inhibitory activity for low molecular-weight hydrolyzable tannins.

Pigmentation of the skin because of synthesis and dispersion of melanin in the epidermis has a great significance in the cosmetic industry and society in general. It is the key physiological defense against sun-induced damage such as sunburn, photoaging and photocarcinogenesis.

Human skin color varies around the world. It ranges from a very dark brown in some African, Australian and Asian-Indian skin to a near pinkish-yellow among some northwest Europeans.

Complexion coloration in humans primarily is regulated by the amount and type of melanin synthesized by the epidermal melanocyte.^{1,2} Melanocytes have specialized organelles termed *melanosomes* that contain several enzymes such as tyrosinase, tyrosinase-related protein-1 and tyrosinase-related protein-2, which catalyze the production of melanin.

Tyrosinase is the first and a rate-limiting step in melanogenesis.³ However, additional and equally contributing

factors consist of efficient transfer of melanin from melanocytes to the neighboring keratinocytes and distribution and degradation of the transferred melanosomes by the recipient keratinocytes. The protease-activated receptor-2 (PAR-2) and unidentified surface lectins and glycoproteins facilitate this transfer process.^{4,5} Skin-lightening agents (melanogenesis inhibitors) have been used widely to either lighten or even-tone the skin.^{6,7} Preparations in the European market often are used to treat age spots and freckles or to obtain even-toning effects, whereas the Asian market uses them to change or modify skin color.

Phyllanthus emblica

Phyllanthus emblica (*P. emblica*) has been used for more than 4,000 years for a variety of human ailments in ayurveda.⁸ Its status ranges from insignificant in the western world to highly prized in tropical Asia. The antioxidant fraction of *P. emblica* (Syn. *Embllica officinalis*) fruits^a, used in this investigation and referred to in the text as *P. emblica*, is different from other commercially

available extracts of *P. emblica* fruits. This is because it contains more than 50%, typically 65–75%, of key chemical components—low molecular-weight (<1,000) hydrolyzable tannins.

Previously, studies reported cascading antioxidant activity,⁹ chelating activity,¹⁰ antioxidant and matrix metalloprotease inhibitory activity^{11,12} of a standardized extract of *P. emblica* fruit. No report has yet shown the melanin inhibitory activity of the low molecular-weight hydrolyzable tannins found in *P. emblica*; the goal of the present work is to investigate its effectiveness as a melanin inhibitor (in vitro and in vivo), to define its mode of melanin inhibitory activity, and to show its applicability as a cosmetic ingredient to lighten and even-tone normal and hyperpigmented skin color.

Materials

Skin lightening agents, enzymes and reagents were obtained for comparison. Skin lightening agents included: *P. emblica*, hydroquinone, kojic acid, magnesium ascorbyl phosphate >95% pure^b, *Glycyrrhiza glabra* (licorice) root extract^c and ascorbyl-2-O-β-D-Glucoside^d.

Enzymes and reagents studied were: mushroom tyrosinase and horseradish peroxidase, potassium diphosphate, disodium EDTA, potassium hydroxide, L-DOPA, L-tyrosine, hydrogen peroxide and FeCl₂. Human melanocytes from moderately pigmented donor

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^aEmbllica is a product of EMD Chemicals/Merck KGaA.

^bRonaCare MAP (INCI: Magnesium ascorbyl phosphate) is a product of EMD Chemicals/Merck KGaA.

^cLicorice PTH (INCI: Glycyrrhiza glabra (licorice) root extract) is a product of Barnet Products.