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FILE: ▪Botanicals
▪Skin-lightening
▪Cosmetic Ingredients

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RE: Botanical Extracts as Potential Skin-lightening Agents

Zhu W, Gao J. The use of botanical extracts as topical skin-lightening agents for the improvement of skin pigmentation disorders. *J Investig Dermatol Symp Proc.* April 2008;13(1):20-24.

People often want to lighten their skin tone to look more youthful or to decrease spots of skin hyperpigmentation (also known as age or sun spots). Pharmaceutical treatment with hydroquinone, corticosteroids, and kojic acid are effective but can have unwanted side effects with long term use. Side effects include skin irritation, cytotoxicity, and mutagenicity of melanocytes (skin cells that produce color). There are many plant sources of depigmenting compounds. This article briefly discusses these compounds.

Arbutin
Arbutin is a naturally occurring beta-D-glucopyranoside derivative of hydroquinone, which is found in pear (*Pyrus communis*), cranberry (*Vaccinium macrocarpon*), blueberry (*Vaccinium* spp.), and uva-ursi (*Arctostaphylos uva-ursi*). Arbutin works by inhibiting melanosomal tyrosinase and DHICA (5,6-dihydroxyindole-2-carboxylic acid), two components required for melanogenesis (formation of melanin—skin pigments). Most skin-lightening products contain alpha-arbutin, rather than arbutin, because it is more effective and stable.

Aloesin
Aloesin, from aloe (*Aloe vera*), inhibits tyroinase and DOPA (3,4-dihydroxyphenylalanine). DOPA is a priming agent in the enzymatic conversion of tyrosine into melanin. Aloesin and arbutin can synergistically inhibit melanin production in vitro. The potency of reducing pigmentation is arbutin < alpha-arbutin < aloesin < kojic acid.

Flavonoids
The isoflavones, glycitein, daidzein, and genistein, have little antityrosinase activity. However, the isoflavone 6,7,4'-trihydroxyisoflavone is a potent tyrosinase inhibitor. It is more potent than kojic acid.

Hesperidin
Hesperidin is a bioflavonoid in the peel and membranes of citrus fruit. In vitro hesperidin dose-dependently inhibits tyrosinase activity.

Niacinamide
Niacinamide is a biologically active form of niacin (vitamin B3) found in many root vegetables and yeasts. Niacinamide decreases melanin transfer and collagen oxidation. Two clinical trials demonstrate the efficacy of niacinamide in increasing skin lightness and improving age-induced yellowing (study details not provided).

Licorice extracts
Many compounds isolated from licorice (*Glycyrrhiza glabra*) extracts such as glabridin (the main component in the hydrophobic fraction), glabrene, isoliquiritigenin licuraside, isoliquiritin, and licochalcone A inhibit tyrosinase activity in vitro. Liquiritin causes depigmentation by other mechanisms not specified, and studies demonstrate that a 20% liquiritin cream applied at 1 g/day for 4 weeks is therapeutically effective in treating melasma (skin discoloration after pregnancy). Additional study details were not provided.

Mulberry
Dried white mulberry (*Morus alba*) leaves (85% ethanol extract) inhibit tyrosinase activity in vitro. Mulberroside F (moracin M-6, 3'-di-O-beta-D-glucopyranoside), the active component, also inhibits tyrosinase activity and melanin formation in vitro.

Polyphenols
Polyphenols are found in a variety of plants. Many polyphenols inhibit melanogenesis in vitro.

Ginseng
P-coumarin acid extracted from fresh Asian ginseng (*Panax ginseng*) leaves decreased L-tyrosine oxidation in vitro. More studies are needed.

Ginkgo
Ginkgo (*Ginkgo biloba*) flavone glycosides, quercetin and kaempferol, can inhibit tyrosine activity in vitro.

Thousands of plant extracts have been screened and hundreds of compounds have been identified as potential skin-lightening reagents. However, the lack of clinical trials has prevented these ingredients from being incorporated into topical cosmetics.

—Heather S. Oliff, PhD

The American Botanical Council has chosen not to reprint the original article.