

## Pycnogenol

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Unlike some cosmeceuticals, pycnogenol is one cosmeceutical ingredient that has been the subject of much research regarding its antioxidant properties.

Pycnogenol is a standardized pine-bark extract (PBE) with a patent history that would take us beyond the scope of this article. More importantly, though, pycnogenol is known to have potent antioxidant, anti-inflammatory, and anticarcinogenic properties (Photochem. Photobiol. 79[2]:193-98, 2004; Phytother. Res. 16[6]:567-71, 2002; Free Radic. Biol. Med. 28[2]:219-27, 2000).

Native Americans are said to have been well aware of pine bark's medicinal benefits as far back as the 1500s. Western medicine took more than 400 years to follow up, but after pivotal research by European researchers in the early and mid-1900s, several studies have resulted in promising data.

Pycnogenol is rich in procyanidins, also called proanthocyanidins, for which much research exists demonstrating their antioxidant properties. Proanthocyanidins are polyphenolic bioflavonoids believed to confer a vast array of biologic, pharmacologic, chemoprotective, and antioxidant activity (Res. Commun. Mol. Pathol. Pharmacol. 95[2]:179-89, 1997). These compounds can also reportedly stabilize collagen and elastin, an activity that would improve the elasticity, flexibility, and appearance of skin as well as protect the skin from UVB damage.

Research has shown that procyanidol oligomers bind to elastic skin fibers when intradermally injected into rabbits. When catechin (the fundamental antioxidant element in green tea) and procyanidol oligomers bound to insoluble elastin, they significantly decelerated the rate of degradation by elastases (Biochem. Pharmacol. 33[24]:3933-39, 1984).

Since that study 20 years ago, a significant body of research has emerged about procyanidol oligomers (now referred to as oligomeric proanthocyanidins, or OPCs), particularly in grape-seed extract and French maritime pine-bark extract. For this reason, these ingredients are incorporated into many skin care products.

OPCs are the most potent antioxidant free-radical scavengers yet identified. Great varieties of fruits, vegetables, nuts, seeds, flowers, and bark are the sources of widely available OPCs (Toxicology 148[2-3]:187-97, 2000).

Particularly good sources of proanthocyanidins are pine bark, grape seed, grape skin, bilberry, cranberry, black currant, green tea, black tea, blueberry, blackberry, strawberry, black cherry, red wine, red cabbage, and red apple skins, with grape seed and pine bark as the most commercially viable sources.

French maritime pine-bark extract (*Pinus pinaster*), like the extract of grape seed, is emerging as a dynamic element in the medical armamentarium against several diseases, and appears to offer several potential dermatologic applications. Physician reports indicate that the pine-bark derived Pycnogenol yields superior clinical results.

In vitro studies of pycnogenol indicate a range of benefits imparted by this botanical extract. It is significantly more potent than vitamins C and E, and it exhibits the capacity to recycle vitamin C, to regenerate vitamin E, and to facilitate the activity of endogenous antioxidant enzymes (Phytother. Res. 16[6]:567-71, 2002). Protective effects against ultraviolet radiation have also been associated with pycnogenol (Phytother. Res. 16[6]:567-71, 2002).

Antioxidants are popular additives in skin care preparations for several reasons. In addition to having antiaging, anticarcinogenic, and anti-inflammatory activities, they may also decrease the pigmentation that occurs after exposure to UV light (Pigment Cell Res. 16[6]:629-38, 2003).

This may be useful in the treatment of melasma. The efficacy of pycnogenol in protecting against UV-induced pigmentation was evaluated in a 30-day clinical trial of 30 women with melasma. Patients were given one 25-mg tablet of pycnogenol at each meal, three times daily. Investigators found that the average surface area of melasma significantly decreased, showing pycnogenol to be an effective and safe treatment for this condition (Phytother. Res. 16[6]:567-71, 2002).

This dynamic OPC exhibits efficacy in treating other dermatologic conditions. For example, pine-bark extract has been found to down-regulate calgranulin A and B genes, both of which are characteristically up-regulated in psoriasis and various dermatoses (Phytother. Res. 15[1]:76-78, 2001).

In a study evaluating the capacity of pine-bark extract to protect human skin against erythema induced by solar radiation, 21 volunteers were given oral supplementation of pycnogenol. During supplementation, the UV radiation level necessary to reach one minimal erythema dose (MED) was significantly elevated, suggesting that oral pine-bark extract supplementation mitigates the effects of UV radiation on the skin, lowering erythema (Free Radic. Biol. Med. 30[2]:154-60, 2001).

All of these studies illustrate the actions of pycnogenol when used orally. In order to be useful in cosmetic products, however, the ingredient must be absorbed when applied topically, and must be stable with a good shelf life.

At least two studies demonstrate that pycnogenol is active when applied topically.

In the first study, hairless mice exposed to solar-simulated ultraviolet radiation (SSUV) were topically treated, postirradiation, with lotions containing pycnogenol. Dose-dependent reductions in the inflammatory sunburn reaction and immunosuppression (as manifested by suppression of contact hypersensitivity reactions) were observed as a result of pycnogenol treatment. Tumor formation, induced by chronic exposure to SSUV for 5 days/week for 10 weeks, was delayed in mice treated with higher doses of pycnogenol. Tumor prevalence also was significantly reduced, from 100% in control mice to 85% in mice treated with 0.2% pycnogenol. Researchers concluded that topical pycnogenol exhibits potential as a photoprotective complement to sunscreens, clearly showing biologic activity when applied after exposure to UV radiation (Photochem. Photobiol. 79[2]:193-98, 2004).

In another research study done in Finland, living human skin cell cultures were subjected to UV rays in a dosage that killed EVERY skin cell. Then similar skin cell cultures were coated with a layer of OPC (in one half the concentration of Theraderm RS-OPC) on the surface. Then the

same UV dosage was given to the cell cultures. The protected cells had NO cells killed, and NO evidence of ANY DNA damage for four succeeding daughter cell populations.

## **Marketing Pycnogenol (OPC)**

Pycnogenol is a registered trademark of Horphag Research Ltd., which has a U.S. patent on the formulation. Oral pycnogenol products are readily available worldwide and have been used in Europe for the last 25 years.

Topical products are harder to come by. Therapon Skin Health formulated and incorporates Pycnogenol into Theraderm OPC Reparative Gel, part of its Theraderm Skin Renewal System, in the highest concentration available in a topical product to create a truly “physician strength” product. It is water based for maximum absorption, and may be used on raw skin post procedure for soothing and enhanced healing.

GreatSkin manufactures C Serum, which contains pycnogenol (\$70 for 1 ounce). VesPro Life Sciences LLC has developed C Factor, which combines EsterC topical with coenzyme Q10, pycnogenol, and Bio-Ferm herbal complex (\$50 for 30 ml). Both products are marketed for antiaging and preventing further skin damage. Intaglio Cosmeceuticals produces Antioxidant Vitamin C Emollient and Antioxidant Replenishing Toner formulations, both of which contain pycnogenol.

There have been no significant reports of adverse effects from the use of products containing pine-bark extracts.

## **Cause for Optimism**

Finally, an ingredient with a decent amount of research to back up its claims! In particular, research indicates that pycnogenol possesses notable antioxidant, anti-inflammatory, and anticarcinogenic capacity and an ability to inhibit pigment formation after UV exposure.

More data are needed to determine the validity of these claims. Pine-bark extract might not have the same media buzz as its fellow proanthocyanidin grape-seed extract, but current research provides just as much reason for optimism.

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