


PYCNOGENOL: THE HERCULES OF ANTIOXIDANTS

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<p>*For Correspondence: School of Pharmacy, Lloyd Institute of Management and Technology, Plot no-11, Knowledge park-2, Greater Noida-201306</p>	<p>ABSTRACT A super potent antioxidant known as Pycnogenol, which is the US trademark name for a product derived from the bark of a tree called Pinus pinaster. The active ingredients are known as proanthocyanidins from grape seed, maritime pine bark that have proved to be 20 times more powerful than vitamin-C and 50 times than vitamin E. The role of Pycnogenol as an exceptional free radical scavenger is just beginning to emerge, and the protective potential of Pycnogenol is impressive, to say the least. It's only a matter of time before scientific data supports the fact that this family of nutrients is far more effective in its antioxidant capacity than previously assumed.</p> <p>KEY WORDS: Antioxidant, Pycnogenol, Flavonoids, Free radical</p>
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INTRODUCTION

Virtually each and every day, most of us are exposed to a number of toxic substances. Auto exhaust, tobacco smoke, pollution, preservatives and additives continually assault our bio-cellular systems. As a result, our risk of getting a degenerative disease is significantly increased. In addition, the aging process itself is accelerated by the presence of free radicals. Consequently, premature tissue breakdown can occur. Inevitably, despite our best efforts, our environment will continue to surround us with potentially harmful pollutants. Certainly, there are several things we can do to minimize our health risks, including exercising, eating nutritiously and not smoking. Unfortunately, these measures are rarely enough to substantially decrease our risk of contracting certain degenerative diseases or of getting old before our time. While supplementing our diets with vitamins and minerals is strongly recommended, certain remarkable, natural substances exist which have recently come to the forefront of scientific research. The most promising of these may be

a compound called Pycnogenol, and everyone seems to be talking about it.

Pycnogenol has been associated with:

- Reducing the Risk of Cancer
- Affording Superior Protection against Toxins and Pollutants
- Strengthening the Immune System to Fight Off New Viral Strains
- The Prevention of Premature Aging and Degenerative Diseases

What exactly is pycnogenol?

Pycnogenol is a super antioxidant which consists of a highly bioavailable flavonoid called proanthocyanidin. This compound can be extracted from either pine bark or grape seed, and both sources are virtually identical. Biochemists will confirm that as a class, the proanthocyanidin bioflavonoids, regardless of their source, possess the same biochemical activity with very slight exceptions.

Proanthocyanidins work with vitamin-C to help regenerate collagen and shield it from free radical attacks, strengthen and restore permeability of capillaries to allow more oxygen nutrients, enzymes and hormones to pass through cell membranes to renew and feed all the 60 trillion body cells. Thus the protection and repair promotes elasticity of the skin, improvement of circulation in the eyes and extremities besides increasing the capacity of memory. Proanthocyanidins have a positive effect in heart diseases, varicose veins, oedema, diabetic retinopathy and other degenerative processes (O. S. Reddi, 2013)

The differences lie in the varying concentrations of the flavonoid and its purity. Extraction processes for each source differ and may contribute to the cost of the type of Pycnogenol in question. Regardless of its source, Pycnogenol has demonstrated extraordinary antioxidant capabilities.

Nature's best defense against free radicals:

Antioxidants

Potent substances called antioxidants, which scavenge for dangerous free radicals, afford us the best prospect for disease prevention, toxin protection and sustained longevity and vigor. According to many experts, making sure we arm our cellular systems with adequate supplies of antioxidants should be our first health priority.

"It has now been established that more than 60 human diseases involve free-radical damage, including cancer, heart disease and the acceleration of the aging process. All that you really need to know is that your body is under constant free-radical attack, and that you need to keep you're antioxidant defenses strong. (Time Magazine, 1992)

Other antioxidants

Some of the most common of free radical scavengers or antioxidants include:

- Vitamin E
- Vitamin C
- Vitamin A and Beta Carotene
- Coenzyme Q-10
- Selenium

While all of these are excellent cellular protectants, the compound missing from the above list may be the most remarkable of all.

Pycnogenol provides some of the most potent compounds known to nutritionally support and potentiate the body's defense system against oxidants. Continually emerging research supports the fact that Pycnogenol may be the best antioxidant nature has to offer. In this regard, it is 50 times more potent than vitamin E and 20 times more so than vitamin C. (Indena Fact Sheet, #16) Pycnogenol is considered by some health experts to be the greatest nutritional breakthrough of our century.

The Therapeutic Properties of Pycnogenol

Pycnogenol provides extraordinary therapeutic benefits for a number of physiological conditions and has even contributed to the reversal of some disease states. The active compound found in Pycnogenol acts as a highly potent free radical scavenger, and can not only improve overall health, but protect it as well.

The proanthocyanidin contained in Pycnogenol may well become the most important nutritional breakthrough of the 21st century. They may prove to be the most potent and effective compounds which act to protect against the cellular damage caused by free radicals discovered to date.

The Origins of Pycnogenol

For generations, certain tribes of North American Indians used bioflavonoids extracted from the bark of pine trees for a variety of disorders. Because of its marvelous healing properties, they called this pine the Annedda, or "tree of life".

Pine Bark: Giver of Life

These Native Americans, who routinely ate deer as their primary source of nutrition, when confronted with a scarcity of meat asked themselves... where does the deer get its strength? They discovered that deer stripped away pine tree bark and were able to derive life-giving nutrients from its organic composition. It was also observed that devastating diseases such as scurvy did not afflict those who ate the bark, leaves or needles of this pine tree.

Cartier's Encounter with Annedda Tea

In 1535, Jacques Cartier learned of the medicinal value of the bark, which remained relatively unknown until 20 or 30 years ago, when scientists reviewed his notes and commenced research. Cartier became caught in the bitter snows of Quebec, while attempting to navigate the St Lawrence River. Cartier and his crew subsisted on hard biscuits and cured meat and eventually came down with what was believed to be scurvy. Scurvy is an abhorrent disease which causes a very slow and agonizing death.

Several of Cartier's men died before they were approached by the Quebec Indians who prepared a tea they called "Annedda" from the bark of a certain native pine tree. The men took the tea and used its leaves as poultices. Their recovery was almost immediate. What must have seemed like a miraculous substance was technically, nothing more than vitamin C with bioflavonoids naturally inherent to the pine tree.

Discovery of Pycnogenol

Cartier was resourceful enough to document the incident. Over 400 years later, French professor, Jacques Masquelier, assigned to the University of Quebec discovered Cartier's account. Because he was already involved in bioflavonoid research, he became greatly intrigued by pine tree extract. Dr. Masquelier isolated a bio-active substance known as proanthocyanidin.

The Emergence of Proanthocyanidin

After returning to France, Professor Masquelier found that this compound could be extracted from the bark of the French Maritime Pine *pinus maritima* found in abundance in southern France. Intensive research by Dr. Masquelier led to the discovery of Pycnogenol, which is another name for the group of bioflavonoids known as the proanthocyanidins. Subsequent in-depth testing revealed that these compounds are a nutrient treasure far more valuable than anyone originally thought. In time, the grape seed was found to contain the same compound and today, is considered an excellent source of Pycnogenol in France.

The Term “Pycnogenol”: Disputed Definitions

Be aware that the word Pycnogenol is used by some companies as a trade name. Technically it is generic term for proanthocyanidins in France as intended by Dr. Masquelier. Proanthocyanidins refer to the flavonol class of the bioflavonoid family. Both pine bark and grape seed are viable sources for the compound. Think of it this way: vitamin C can be extracted from a number of sources, likewise proanthocyanidins or Pycnogenol can come from pine bark or grape seed. It is Dr. Masquelier who named this family of bioflavonoids and actually discovered “Pycnogenol”. He intended the term to refer to this unique and specific category of bioflavonoids. Despite his intent, the word “Pycnogenol” has been trademarked by a variety of companies around the world. It is only in France, where Dr. Masquelier still controls the term that it is used generically.

Free Radicals, Cellular Culprits and Pycnogenol

It is a scientific fact that most of us will not live out our potential maximum life span. Unfortunately, because of the damage free radical cause within our cellular structures, many of us will die prematurely from one of a wide variety of degenerative diseases. Free radical damage has been associated with over 60 known diseases and disorders.

What is a free radical?

Free radicals are unstable, unpredictable structures that pose a potential threat to healthy molecules on a cellular level. In their attempt to become stable, they assault and randomly impact healthy molecules, altering their functions in the process.

To Completely Eliminate Free Radicals, You Must Stop Breathing

The very act of breathing oxygen activates these reactive chemical structures. To make matters worse, because our generation, more than any other, is exposed to a number of potentially harmful environmental substances, free radical formation can reach epidemic proportions. Some of the more dangerous of these include:

- Cigarette smoke
- Herbicides

- Pesticides
- Smog
- Car exhaust
- Certain prescription drugs
- Diagnostic and therapeutic x-rays
- Ultra-violet light
- Gamma radiation
- Rancid foods
- Certain fats
- Alcohol
- Some of our food and water supplies
- Stress
- Poor diets

Even Exercise Has Its Perils

Exercising, as beneficial as it is, can initiate the release of free radicals within our cellular systems. Aerobic exercising produces oxidation products. Many of these compounds are not neutralized by our internal safety mechanisms and an overload can occur. Supplementing the diet with efficient antioxidants is highly recommended for everyone, but especially for those who exercise on a regular basis.

Why are free radicals so dangerous?

A free radical can destroy a protein, an enzyme or even a complete cell. To make matters worse, free radicals can multiply through a chain reaction mechanism resulting in the release of thousands of cellular oxidants. When this happens, cells can become so badly damaged that DNA codes can be altered and immunity can be compromised. Contact with a free radical or oxidant on this scale can create cellular deterioration, resulting in cancer and heart disease. Tissue breakdown from this oxidative stress can also occur which can contribute to aging, arthritis and a whole host of other degenerative conditions.

“Through free radical reactions in our body, it’s as though we’re being irradiated at low levels all the time. They grind us down. (The New York Times, 1993)

Premature Aging and Free Radicals

Frequently, the oxidative stress caused by free radicals results in what we refer to as the aging process. While aging is inevitable, many of us hasten its outcome by not protecting ourselves, hence, we age prematurely. The early onset of wrinkling, arthritis, circulatory disorders, diabetes, heart disease and hardening of the arteries can result from free radical damage that could have been minimized by consistently taking strong antioxidants like Pycnogenol.

More and more research suggests that it is a lack of certain protective nutrients, like the bioflavonoid compounds contained in Pycnogenol, which increases our risk of cancer and other degenerative diseases. (Jon J et al, 1994)

Why a Nutritious Diet Does Not Afford Us Enough Protection

“They (antioxidants) may be much more important than doctors thought in warding off cancer, heart disease and the ravages of aging – and, no, you may not be getting enough of these nutrients in your diet.” (Richard A et al, 1993)

Clearly, while diet modifications are invaluable, diet alone cannot provide the kind of physiological defense the body requires to inhibit free radicals before they cause biological harm. While there is no way to escape our exposure to free radicals, we can minimize potential cellular destruction by reducing their numbers.

Pycnogenol as a Dietary Supplement

While flavonoid concentrates were used in ancient times to treat a variety of human diseases, modern medicine has failed to utilize their enormous therapeutic potential. We assume RDA standards to provide us with all the vitamin C and bioflavonoids we need to be healthy. Even if these set quantities were accurate for maintaining optimal health, how many of us eat diets nutritious enough to maintain maximum health and protection? In other words, do we consume enough fruits and vegetables to afford us adequate levels of vitamin C and bioflavonoids to provide the free radical protection we need?

“The USDA conducted a study in which they collected dietary information over the course of the year for four independent days. In that study 20% of the adult women had no fruit or juice for four days, and about 45% had no citrus fruit or citrus fruit juice in four days. (Indena Publication)

Malnutrition in the midst of plenty?

Only 9% of our population gets and eats enough fruit and vegetables on a consistent basis. Unquestionably, most of us are not getting enough vitamin C and flavonoid compounds from our diets.

In addition, it’s important to remember that modern farming techniques, premature harvesting of fruits and vegetables, indefinite cold storage, freezing, canning and cooking may denature food of its vitamin C and bioflavonoid content. (R.I. Rayer et al, 2009)

Because we know that diseases are often nothing more than nutritional deficiencies, we must make adequate supplementation a priority if we want to enhance our longevity. As mentioned earlier, bioflavonoids must combine with vitamin C (ascorbic acid) in order to be effective. Mother Nature was well aware of this synergistic relationship, as most plant bioflavonoids accompany vitamin C compounds. In addition, the best, most bio-active and bioavailable flavonols must be chosen for their antioxidant properties. An overwhelming consensus exists that Pycnogenol may be the ideal choice.

Proanthocyanidins make pycnogenol so extraordinary

Recently, the very profound effect of the proanthocyanidins found in Pycnogenol has been

investigated for its remarkable antioxidant action. These bioflavonoid compounds have been found to significantly surpass other known antioxidants in their ability to scavenge free radicals. Pycnogenol is 50 times more potent than vitamin E and 20 times more so than vitamin C. In addition, it is one of the few compounds that can cross the blood-brain barrier making it therapeutically effective for a number of neurological disorders.

Pycnogenol: its remarkable benefits

New to North America but not to Europe, this natural product is considered safe and effective in the treatment of various diseases and for the maintenance of optimal health. This family of bioflavonoids is non-toxic, water soluble and highly bioavailable. Because proanthocyanidins scavenge free radicals so effectively, they have shown remarkable curative effects. Extensive research demonstrates that proanthocyanidins are such potent antioxidants; they find and neutralize free radicals with great rapidity, allowing cells to regenerate rather than deteriorate. Specific actions associated with Pycnogenol include:

- Binds with Collagen Fibers and helps restore skin elasticity
- Helps prevent excess wrinkling
- Protects capillaries from free radical damage which helps prevent phlebitis, varicose veins and bruising
- Treats Joint Pain and Injuries as a natural anti-inflammatory
- Helps control and prevent asthma
- Decreases the production of histamines in allergic reactions such as Hay Fever
- Reduces the risk of and treats diabetic retinopathy

Pycnogenol specifically targets: skin and elasticity

Testing has suggested that Pycnogenol flavonoids exhibited an anti-enzyme effect which prevented the breakdown of collagen and elastin, the very compounds which keep skin firm and inhibit the formation of wrinkles.

Tumor Related Diseases

Unquestionably, studies have shown that Pycnogenol has the ability to inhibit cellular mutations. The implications of this finding for anyone suffering from tumor related disease which originates from cellular malfunctions should not be underestimated.

Cardiovascular Conditions

The potential of Pycnogenol to prevent cellular deterioration or uncontrolled growth is profound to say the least. Several investigations have shown that if it is taken on a daily basis, Pycnogenol benefits people suffering from cardiovascular diseases and breast tumors (J.F. Thebaut et al, 2009)

In addition, double blind placebo controlled studies have indicated that patients suffering from circulatory insufficiencies and diseases of the lymph system showed

significant improvement in pain control and vessel elasticity after taking these flavonoids. (L. Fusi et al, 1988)

Vision

Subsequent experiments concluded that the family of bioflavonoid contained in Pycnogenol is capable of improving night vision and initialing “a rapid and marked improvement of visual performance after glare in comparison with control group”. (D. Zafirov et al 1990)

Water retention and edema

Pycnogenol is particularly valuable for anyone who suffers from water retention and edema. Studies at the Institute of Physiology at the Bulgarian Academy of Sciences confirm that this bioflavonoid compound stabilized capillary walls, which decreased fluid leakage into tissues which causes swelling and pressure. B. (Vennet, 1989)

What pathological conditions respond to pycnogenol?

The bioflavonoids found in Pycnogenol have been clinically indicated in the following pathological conditions:

- Circulatory diseases, including cardiovascular disease and stroke
- Varicose veins
- Edema
- Bruising
- Capillary fragility (commonly seen in diabetes which can cause retina damage and decrease sight)
- Visual disturbances
- Aging of skin
- Diabetes
- Stroke
- Prostate disease
- Wrinkles
- Stress

Pycnogenol has therapeutic value for the following conditions:

- Bell's palsy
- Ulcers
- Eyesight: increases visual acuity
- Cancers: inhibits tumor growth
- Heart disease
- Atherosclerosis
- Colds and flu
- Prostate problems
- Lupus
- Arthritis
- Memory/alzheimer's disease, senile dementia
- Stroke
- Parkinson's disease
- Psoriasis
- Bursitis
- Gastrointestinal problems
- Insomnia
- It can also help to increase longevity and overall health. (L. Fusi et al, 1988)

Pycnogenol can cross the blood-brain barrier

One of the most significant advantages of this flavonoid compound is its ability to cross the blood-brain barrier. Consequently, it acts as an invaluable therapeutic agent in treating depression, chronic fatigue, insomnia or loss of memory.

Anti-ulcer forming properties

Other scientific tests have indicated that proanthocyanidins also possess anti-ulcer properties and may work to prevent the formation of undesirable chemicals in the stomach. (Indena Publication)

How bioavailable is pycnogenol?

The results of isotopic testing confirmed that grape seed proanthocyanidins had a specific affinity for collagen, and demonstrated how long the bioflavonoid complex adhered to living tissue. Because this testing was not possible on pine bark sources of proanthocyanidins, data collected from grape seed testing has been extrapolated or applied to pine bark. Pycnogenol is rapidly absorbed and transported throughout the cellular system due to the high water solubility of the proanthocyanidins. Within one hour of ingesting this bioflavonoid complex, proanthocyanidins can be detected in human saliva. Pycnogenol uptake in the body is rapid and is quickly distributed. The bottom line is that Pycnogenol is a water-soluble bioflavonoid which is quickly assimilated after ingestion into the bloodstream. There, it acts to scavenge free radicals and to strengthen connective tissue. The proanthocyanidins found in Pycnogenol can not only scavenge and remove free radicals, but inhibit their propagation as well.

The tolerability and safety of pycnogenol

It would certainly be pointless to find a substance that while seemingly beneficial, was not safe or could not be well tolerated by its users. Studies have shown that pure sources of Pycnogenol are practically devoid of any oral toxicity. Even extremely high dosages administered over sustained periods of time showed no toxicity or side effects.

Virtually no actual or potential risks were found with this compound. Pycnogenol has been shown to be safe for conception, pregnant women, and the unborn fetus. It is devoid of any peri or postnatal toxicity. On the contrary, the compounds were found to not only benefit targeted disorders, but a variety of other conditions improved as well.

Proper dosages of pycnogenol can determine its effectiveness

Some doctors who have used Pycnogenol recommend a dose of 20mg for every 20lbs of body weight to obtain optimal results. This usually averages to approximately 150-200mg per person, per day. For therapeutic doses, a saturation level can be obtained by taking an increased amount of Pycnogenol initially and then tapering off to optimal body weight dosages.

Pycnogenol: life-changing compound

Supplementing the diet with nature's most powerful antioxidants is certainly paramount in protecting ourselves and our families from the ravages of disease. Studies suggest the earlier we start using Pycnogenol, the better for our bio-cellular health. While we anxiously await the cure of cancer and other devastating diseases, we can arm our bodies with the most powerful protective nutrients available. Pycnogenol is the compound of choice.

A word concerning testimonials

Frequently, sensational claims emerge when new health supplements are introduced. Concerning Pycnogenol, accounts vary from anecdotal to scientific. Unquestionably, when it comes to vision problems, capillary weakness, prostate problems or any type of inflammatory problem, Pycnogenol has already compiled an impressive track record.

Summary of specific actions associated with pycnogenol

- It strengthens skin and promotes elasticity, which means it fights wrinkled and can soften facial lines.
- It protects capillaries from free radical damage, which helps to prevent phlebitis, varicose veins and bruising. Consequently, it has been recommended for anyone with a history of blood clots.
- For joint pain and injuries, Pycnogenol acts as a natural anti-inflammatory without the negative side effects of over-the-counter or prescription drugs. It also helps to alleviate the pain associated with arthritis and other joint conditions. It has been recommended as a daily supplement for anyone who engages in continuous physical activity.
- It helps to control and prevent water retention or edema in the tissues by decreasing fluid leakage from the cells, which results in swelling. Anyone suffering from swollen ankles or fingers should look into its diuretic properties.
- Pycnogenol is an excellent supplement for any type of allergy, especially hay fever because it decreases the production of histamines which are responsible for all the miseries of allergy symptoms.
- It can reduce the risk of diabetic retinopathy and in some cases has decreased blood sugar levels. Diabetes and its complications seem especially responsive to the flavonoid compounds contained in Pycnogenol.
- Because it crosses the blood-brain barrier, it may be helpful in cases of Alzheimer's disease, Parkinson's disease and Senile Dementia.
- Pycnogenol may guard against the formation of tumors or other cell mutations caused by free radicals and may help protect against degenerative diseases like cancer.

·Atherosclerosis and other circulatory diseases, including strokes, can benefit from the vessel strengthening action of Pycnogenol.

·Prostate problems seem especially responsive to certain doses of Pycnogenol.(Indena Publication

CONCLUSION

The general consensus among many experts in the health field is that Pycnogenol is destined to become the most vital of all antioxidant compounds. As more scientific evidence presents itself, Pycnogenol may eventually sweep 21st century dietary supplementation.

REFERENCES

1. O. S. Reddi., "An overview on the role of antioxidants in life". *Chronicle Pharmabizz*. 67, 2013.
2. *Time Magazine*, (April 6, 1992).
3. Indena Fact Sheet, #16.
4. *The New York Times*, (April 25, 1993).
5. Jon J. Michnovicz. *How to Reduce Your Risk of Breast Cancer*, New York: Warner Books, 103. 1994
6. Richard A. Passwater, Ph.D., *Cancer Prevention and Nutritional Therapies*, New Canaan, Connecticut: Keats Publishing, 1993. 6 G. Block, University of Southern California at Berkeley.
7. *Leucoanthocyanins Extract from Grapeseeds (Vins Vinifera)*", Indena Publication.
8. Liviero, *International Symposium on Phytochemistry of Plants Used In Traditional Medicine*.
9. R.I. Rayer, and C.L. Schmidt, *Seminary Hospital*, (Paris: 1981), 57, 2009 and Indena International Report (Data on File).
10. J.F. Thebaut, P. Thebaut and F.Vin, *Gazette Medicale*, 92, 96. 1985
11. L. Fusi, F. Czimeg, F. Pesce, R. Germagli, A. Boero, M. Vanzetti, G. Gandiglio, *Ann Ott Clin, Ocul*, 114, 575, 1988.
12. D. Zafirov, G. Bredy-Dobrevva, V. Litchev, M. Papisovasvie, *Acta Physiol Pharmacol*, Institute of Physiology, Bulgarian Academy of Sciences, (Sofia, Bulgaria:), 16 (3), 50-54. 1990
13. B. Vennet, *Anti-ulcer Activity of Procyanidin Preparation of Water Soluble Procyanidin Cimetidine Complexes*", *Pharm Acta Helv*, (Switzerland), 64 (11), 316-201989.
14. *Leucoanthocyanins Extract From Grapeseeds (Vins Vinifera)*", Indena Publication