



Pterostilbene

Snapshot Monograph

Pterostilbene

Most Frequent Reported Uses:

- Antioxidant; reduces mitochondrial oxidative stress
- Anti-aging
- Cardiovascular health, including endothelial function and lipid balance
- Chronic inflammation
- Cancer support
- Neuroprotective
- Stroke

Nutrient name:

Pterostilbene

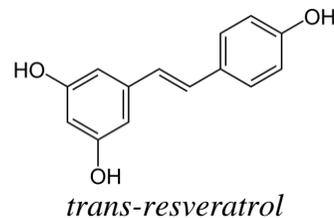
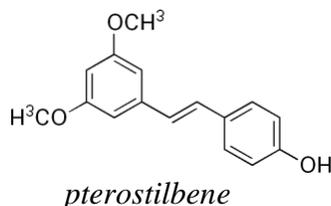
trans-3,5-dimethoxy-4-hydroxystilbene

Introduction:

Pterostilbene is a polyphenol phytochemical primarily found in blueberries (*Vaccinium* sp.), grapes (*Vitis vinifera*) and the Indian Kino tree (*Pterocarpus marsupium*). Chemically, pterostilbene is classified as a phytoalexin and is a methoxylated analog of the popular dietary supplement resveratrol, an antioxidant polyphenol also found in plants including grapes and blueberries. Phytoalexin compounds in plants help protect the plant from pathogen infection.

Due to its chemical structure, pterostilbene is reported to be more lipophilic, bioavailable and therapeutically active than resveratrol. Scientific evidence suggests that pterostilbene has preventive and therapeutic effects including neurological, cardiovascular, metabolic, and hematologic disorders.

Resveratrol (3,5,4'-trihydroxystilbene) became popular to research in the early 1990s, when its presence was first reported in red wine. This led to speculation that resveratrol might help explain the "French Paradox", related to the cardiovascular health of French people in general despite a high fat diet. Recent interest in resveratrol has focused on its ability to decrease oxidative stress, cancer inhibition, metabolic balance/weight loss and anti-aging (Bauer et al, 2006; Bradamante et al, 2004).



Functions/Uses:

Antioxidant/Anti-inflammatory:

- Reported to have significant antioxidant activity (Acharya et al, 2013; Csuk et al, 2013).
- Laboratory studies report pterostilbene inhibits inflammatory processes, including decreasing the upregulation of TNF-alpha, IL-, and the COX-2 isoenzyme (Hougee et al, 2005).

Neuroprotection

- Laboratory studies have reported that pterostilbene is neuroprotective, including helping to decrease oxidative stress and neuroinflammation while improving cognitive function (Chang et al, 2012; Joseph et al, 2008).
- Pterostilbene is also reported to increase levels of PPAR- α , an upstream inducer of MnSOD, and decrease levels of phosphorylated JnK and tau, both of which can lead to increased oxidative stress and subsequent signaling dysfunction in Alzheimer's disease (Chang et al, 2012).

Metabolic Balance

- Pterostilbene has been reported in laboratory animal studies to help with insulin resistance and blood sugar imbalances (Amarnath et al, 2006; Pari et al, 2006). The mechanism of action in blood glucose regulation includes reduction of oxidative stress.
- Pterostilbene is reported to help decrease cholesterol levels in humans, with the mechanism of action being PPAR-alpha agonism (Kapetanovic et al, 2011).
- Laboratory studies have reported that pterostilbene may protect against LDL oxidation-induced apoptosis by downregulating LOX-1-mediated activation (Zhang et al, 2012).
- There are no reported hepatic adverse drug reactions (ADRs) associated with pterostilbene use (Riche et al, 2013). Currently available PPAR-agonists, including fenofibrate or pioglitazone require both renal and hepatic dosage adjustments in compromised patients.
- An unpublished, double blind, placebo-controlled study (n=80) reported that administration of Pterostilbene (125mg bid) in patients with pre-hypertension led to significant reductions in BP compared to placebo (-7.8 mmHg in systolic BP (p < 0.01) and -7.3 mmHg in diastolic BP (p < 0.001), without serious adverse events (.

Cancer protection

- Pterostilbene has been reported in laboratory studies to interfere with initiation, promotion and progression of various forms of cancer (Chakraborty et al, 2012; Li et al, 2013; McCormack et al, 2012; McCormack et al, 2013; Moon et al, 2013).
- *In vitro* use of pterostilbene combined with tamoxifen was reported to lead to a synergistic effect in the treatment of breast cancer cells (Mannal et al, 2010).

Other Uses

- Pterostilbene is reported to have an anxiolytic effect in laboratory animals, through involvement of hippocampal ERK phosphorylation (Al Rahim et al, 2013).

Dosage:

- The most common dosage of Pterostilbene is 50-100mg, 1-3 times daily
- A 99% trans-pterostilbene is available on the market (pTeroPure™, Chromadex, Inc, Irvine, CA)
- Pterostilbene has a longer half-life (105 minutes versus 14 minutes) and higher oral bioavailability (80% versus 20%) compared to resveratrol (Dellinger et al, 2013).
Pterostilbene

Symptoms of Deficiency:

- Since pterostilbene is not an essential nutrient, no deficiency condition has been identified.

Side Effects and Warnings:

- Pterostilbene is reported safe in recommended dosages (Riche et al, 2013).

Pterostilbene Patient Snapshot

Uses:

- Pterostilbene is an effective antioxidant, helping decrease the effects of oxidative stress on the body, cancer and the effects of aging.
- Pterostilbene may help decrease inflammation in the body
- Pterostilbene helps protect your heart and vascular system, improving cholesterol levels and protecting the heart from inflammatory and oxidative stresses.
- Pterostilbene may help improve insulin resistance and along with appropriate diet and exercise, aid in weight loss.

Dosage:

- The most common use of pterostilbene is 50 – 100 mg, 1-3 times a day.

Special Concerns:

- If you are taking prescription or non-prescription medications, have a pre-existing medical condition, or are pregnant and/or breastfeeding, talk with your healthcare provider before taking any dietary supplement.
- Do not take if there is an allergy to any component of this dietary supplement.

DISCLAIMER: Statements made are for educational purposes and have not been evaluated by the US Food and Drug Administration. They are not intended to diagnose, treat, cure, or prevent any disease. If you have a medical condition or disease, please talk to your doctor prior to using the recommendations given.

References:

- [No authors listed]. Pterostilbene. Monograph. *Altern Med Rev.* 2010;15(2):159-63.
- Acharya JD, Ghaskadbi SS. Protective effect of Pterostilbene against free radical mediated oxidative damage. *BMC Complement Altern Med.* 2013;13:238.
- Al Rahim M, Rimando AM, Silistrel K, et al. Anxiolytic action of Pterostilbene: involvement of hippocampal ERK phosphorylation. *Planta Med.* 2013;79(9):
- Amarnath SM, Paril L. The antioxidant role of Pterostilbene in streptozotocin-nicotinamide-induced type 2 diabetes mellitus in Wistar rats. *J Pharm Pharmacol.* 2006;58(11):1483-90.
- Baur JA, Sinclair DA. Therapeutic potential of resveratrol: the in vivo evidence. *Nat Rev Drug Discov.* 2006;5(6):493-506.
- Bradamante S, Barengi L, Villa A. Cardiovascular protective effects of resveratrol. *Cardiovasc Drug Rev.* 2004;22(3):169-188.
- Brito P, Almeida LM, Dinis TC. The interaction of resveratrol with ferrylmyoglobin and peroxynitrite; protection against LDL oxidation. *Free Radic Res.* 2002;36(6):621-631.
- Burns J, Yokota T, Ashihara H, Lean ME, Crozier A. Plant foods and herbal sources of resveratrol. *J Agric Food Chem.* 2002;50(11):3337-3340.
- Chakraborty A, Bodipati N, Demonacos MK, et al. Long term induction by pterostilbene results in autophagy and cellular differentiation in MCF-7 cells via ROS dependent pathway. *Molecular and Cellular Endocrinology.* 2012;355(1):25-40.
- Chang J, Rimando A, Pallas M, et al. Low-dose pterostilbene, but not resveratrol, is a potent neuromodulator in aging and Alzheimer's disease. *Neurobiology of Aging.* 2012;33(9):2062-2071.
- Chen Y, Tseng SH. Review. Pro- and anti-angiogenesis effects of resveratrol. *In Vivo.* 2007;21(2):365-370.
- Csuk R, Albert S, Sierwert B. Synthesis and radical scavenging activities of resveratrol analogs. *Arch Pharm (Weinheim).* 2013;346(7):504-10.
- Dellinger RW, Garcia AM, Meyskens Jr FL. Differences in the glucuronidation of resveratrol and Pterostilbene; altered enzyme specificity and potential gender differences. *Drug Metab Pharmacokinet.* 2013;[Epub ahead of print].
- German JB, Walzem RL. The health benefits of wine. *Annu Rev Nutr.* 2000;20:561-593.
- Hougee S, Faber J, Sanders A, et al. Selective COX-2 inhibition by a *Pterocarpus marsupium* extract characterized by Pterostilbene and its activity in healthy human volunteers. *Planta Medica.* 2005;71(5):387-92.
- Joseph JA, Fisher DR, Cheng V, Rimando AM, Shukitt-Hale B. Cellular and behavioral effects of stilbene resveratrol analogues: implications for reducing the deleterious effects of aging. *Journal of Agricultural and Food Chemistry.* 2008;56(22):10544-10551.
- Kapetanovic IM, Muzzio M, Huang Z, Thompson TN, McCormick DL. Pharmacokinetics, oral bioavailability, and metabolic profile of resveratrol and its dimethylether analog, pterostilbene, in rats. *Cancer Chemotherapy and Pharmacology.* 2011;68(3):593-601.
- Lekakis J, Rallidis LS, Andreadou I, et al. Polyphenolic compounds from red grapes acutely improve

endothelial function in patients with coronary heart disease. *Eur J Cardiovasc Prev Rehabil*. 2005;12(6):596-600.

Li K, Dias SJ, Rimando AM, et al. Pterostilbene acts through metastasis-associated protein 1 to inhibit tumor growth, progression and metastasis in prostate cancer. *PLoS One*. 2013;8(3):e57542.

Lin HS, Yue BD, Ho PC. Determination of pterostilbene in rat plasma by a simple HPLC-UV method and its application in pre-clinical pharmacokinetic study. *Biomedical Chromatography*. 2009;23(12):1308–1315.

Mannal P, McDonald D, McFadden D. Pterostilbene and tamoxifen show an additive effect against breast cancer in vitro. *American Journal of Surgery*. 2010;200(5):577–580.

McCormack D, McFadden D. Pterostilbene and cancer: current review. *Journal of Surgical Research*. 2012;173(2):53–61.

McCormack D, McFadden D. A review of Pterostilbene antioxidant activity and disease modification. *Oxid Med Cell Longev*. 2013;2013:575482.

Moon D, McCormack D, McDonald D, McFadden D. Pterostilbene induces mitochondrially derived apoptosis in breast cancer cells in vitro. *Journal of Surgical Research*. 2013;180(2):208–215.

Pari L, Satheesh MA. Effect of pterostilbene on hepatic key enzymes of glucose metabolism in streptozotocin- and nicotinamide-induced diabetic rats. *Life Sciences*. 2006;79(7):641–645.

Riche DM, McEwen CL, Riche KD, et al. Analysis of safety from a human clinical trial with Pterostilbene. *J Toxicol*. 2013;2013:463595.

Riche DM, Deschamp D, Griswold ME, McEwen CL, Riche KD, Sherman JJ, Wofford MR. Impact of pterostilbene on metabolic parameters in humans. Poster presentation at: American Heart Association 2012 Scientific Sessions on High Blood Pressure Research.

Singh N, Agrawal M, Dore S. Neuroprotective properties and mechanisms of resveratrol in in vitro and in vivo experimental cerebral stroke models. *ACS Chem Neurosci*. 2013;[Epub ahead of print].

Stojanovic S, Sprinz H, Brede O. Efficiency and mechanism of the antioxidant action of trans-resveratrol and its analogues in the radical liposome oxidation. *Arch Biochem Biophys*. 2001;391(1):79-89.

Wang Z, Huang Y, Zou J, Cao K, Xu Y, Wu JM. Effects of red wine and wine polyphenol resveratrol on platelet aggregation in vivo and in vitro. *Int J Mol Med*. 2002;9(1):77-79.

Zhang L, Zhou G, Song W, et al. Pterostilbene protects vascular endothelial cells against oxidized low-density lipoprotein-induced apoptosis in vitro and in vivo. *Apoptosis*. 2012;17(1):25-36.

DISCLAIMER: Statements made are for educational purposes and have not been evaluated by the US Food and Drug Administration. They are not intended to diagnose, treat, cure, or prevent any disease. If you have a medical condition or disease, please talk to your doctor prior to using the recommendations given.