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Latin Name: Vitis vinifera
Active Ingredient: OPC procyanidolic oligomers proanthocyanidins
CAS No.: 84929-27-1
Test method: UV-VIS
Specifications: 95%
Name: Grape Seed Extract Proanthocyanidins
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Part: Seed

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Description:
Grape Seed Extract Proanthocyanidins is natural bioflavonoid powder obtained from ground-up seeds of red wine grapes,Vitis vinifera.Recent years ,Grape Seed Extract is prescribed by doctors in several European countries as it is rich in flavonoids, phytochemicals that have antioxidant properties.Scientific researches showed strong evidences that grape seed extract is beneficial for a a number of health conditions .

Source:
Grape is a berries from the fruiting vine in genus Vitis.Cultivated as early as 8,000 years ago in the middle east ,grape is one of the most popular fruit with endless ways to consume. Over times ,grape is considered as a healthy food and be thought to help prevent cancer, heart disease, high blood pressure and constipation.Researches showed the shades of grape fruits is determined by anthocyanins and other pigment chemicals which responsible for the color of purple grape.Amount many flavonoids in grape Olygemeric Proanthocyanidinss (OPCs) appeared to be the most valuable composition .Studies showed beyond their antioxidant powers, PCOs are

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thought to improve blood circulation and help strengthen blood vessels. These actions benefit people with heart disease and cancer.

The main bio-actives

Olygemeric Proanthocyanidinss, more commonly known as OPCs, are phenolic compounds that found in a variety of plants.OPC are oligomers of catechin and epicatechin.This polyphenol is belong to the flavonoid family and offers multiple beneficial activities including improve blood circulation ,trengthen blood vessels,lower heart rate.In plant extract industry ,the main source of OPC is cranberries, grape seeds or red wine.

Functions

High Blood Pressure

Studies showed grape seed extract distributed a reduction of systolic . Through a investigation which involved 119 healthy, pre and mildly hypertensive subjects ,the blood-pressure-lowering effect of grape seed OPC was examined .After four months of nondrug intervention ,a statistically significant higher, and dose-dependent, improvement in all endpoints was observed in the treatment groups compared to that of the control,with blood pressure normalizing in 93% of the higher dosage (300mg) treatment group. The result evidencing that GSE regulating blood pressure .

Heart Disease

Grapes seed are believed may be beneficial for cardiovascular disease (CVD) .Recently ,a meta-analysis was conducted to evaluats the beneficial effects of grape seed extract on the cardiovascular system.In the meta-analysis,nine randomized ,controlled trials showed that grape seed extract is associated with a notable dicrease in systolic blood pressure (weighted mean difference −1.54 mm Hg (95% confidence interval −2.85 to −0.22, P=[0.02]), and heart rate (weighted mean difference −1.42 bpm (95% confidence interval −2.50 to −0.34, P=0.01])in persons with metabolic syndrome.Based on the currently available literature, grape seed extract appears to significantly lower systolic blood pressure and heart ratein persons with metabolic syndrome, with no effect on lipid or CRP levels.

The high polyphenol content in grapes may also reduce the risk of cardiovascular disease (CVD) by preventing platelet build-up and reducing blood pressure via anti-inflammatory mechanisms.

A small decrease in heart rate may occur following grape seed extract, although the studies are currently in persons with metabolic syndrome and not healthy persons

Recent animal studies have suggested that grape seed extract has beneficial effects on the cardiovascular system. Nine randomized, controlled trials (N=390) met the inclusion criteria, and a meta-analysis was conducted. Upon meta-analysis, grape seed extract significantly lowered systolic blood pressure (weighted mean difference −1.54 mm Hg (95% confidence interval −2.85 to −0.22, P=0.02]), and heart rate (weighted mean difference −1.42 bpm (95% confidence interval −2.50 to −0.34, P=0.01]). No significant effect on diastolic blood pressure, lipid levels, or CRP was found. No statistical heterogeneity was observed for any analysis (I2<39% for all). Egger's weighted regression statistic suggested low likelihood of publication bias in all analysis (P>0.05 for all), except for the effect on diastolic blood pressure (P=0.046).

Eye health

People who stare at computer monitors for extended periods may benefit from taking grape seed extract. The findings of one recent study indicate that 300 mg, taken daily, will ease eyestrain and

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enhance perception of contrast after just 60 days.

Obesity

There is grwoing interest amoung -beneficaleffect of plantextracton obsity . Studies in animal models suggested the grape seed extract(GSE) may exerts anti-obisity effect by regulating circulating adiponectin and reducing food intake without observable influence on mood or satiety.In in high-fructose-fed rats,GSE also increased activity of Akt and GLUT4 in skeletal muscle, and increased mRNA levels of both adiponectin and its receptor (AdipoR1) and acted to normalized the AMPKα1 mRNA levels.

One animal intervention using 0.5% and 1% GSE in conjunction with a high fat/fructose diet in rats noted that while the diet per se was able to decrease circulating adiponectin (60% of control), that consumption of the two doses of GSE normalized adiponectin to 86.6% and 80.3% of control respectively. It was hypothesized the partial reversal of triglycerides seen was secondary to this, as total cholesterol and some liver enzymes were unaffected (AST was significantly reduced, however). Also observed in this study was increased activity of Akt and GLUT4 in skeletal muscle, and increased mRNA levels of both adiponectin and its receptor (AdipoR1) and acted to normalized the AMPKα1 mRNA levels.

It has been noted before that Grape Seed Extract could reduce food intake in rats, and this was conducted in a human study which showed a reduction of energy intake by approximately 4% when no dietary controls are put in place, which is about 84 calories in said study. This reduction in food intake was seen without observable influence on mood or satiety, and occurred after 3 days of intake of 300mg GSE (90% proanthocyanidins).

Anti-cancer

Grape seed extract has been shown to stall the development and progression of many types of cancer including lung, breast,prostate, colon,esophageal,pancreatic , skin .

Grapes contain powerful antioxidants known as polyphenols, which may slow or prevent many types of cancer, including , lung, mouth, pharynx, endometrial, pancreatic, prostate and colon.

The antioxidants in grape seed extract work hard at helping to control cellular damage, routinely hunting down and neutralizing mutations within the genetic material of cells that could lead to tumor formation. The development and progression of cancers of the lung, breast, stomach, prostate, colon, skin and other body parts may be stalled as a result.

Upper body Squamous Cell Carcinoma

Scientists investigated the GSE efficacy in apoptosis inducing apoptosis (regulated cell death) on DNA .The study in both cell culture and nude mice showed GSE selectively inhibited the growth and caused cell cycle arrest and apoptotic death in both Detroit 562 and FaDu cells by activating DNA damage checkpoint cascade.As a result ,GSE regulated arrest at the G2/M phase of the cell cycle.

Secondary to pro-oxidative effects, Grape Seed Extract (GSE) appears to have some promise in inducing apoptosis (regulated cell death) on DNA and thus regulated arrest at the G2/M phase of the cell cycle. This affected both Detroit 564 and FaDu cells, as well as their implants in mice when said mice were fed GSE.

Breast Cancer

Published literatures suggested many dietary supplements which enriched in polyphenols has been shown to have chemopreventive activity in cellular models of cancer.Scientists inveatigated chemoprotective effect of Grape Seed Extract (GSE) against carcinogen-induced mammary

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tumorigenesis in rats.The study result showed administration of GSE in a laboratory dry food diet (Teklad 4% rodent diet) resulted in a significant (44–61%) reduction in tumor multiplicity.

GSE also exhibited to ameliorate induration of the breast tissue induced by radiation.It found that 100mg of GSE taken thrice a day for a total of 300mg was able reduce the size of induration by 50% or more in 27.3% of persons tested (6/22) by six months, and one more took the full 12 months to reach 50% reduction of induration.

Grape Seed Extract (GSE) has been shown to be chemoprotective against breast cancer in a rat model using 1.25 or 5% of the diet as GSE, but appeared to be dependent on the diet used. In this study, the AIN-76A diet (18.8%, 68.8%, 12.4% for protein, carbs, and fat) failed to show chemoprotection while the higher protein 4% diet (34%, 13%, 53% for protein, fat, and carbs), AIN-76A used Casein Protein (/supplements/casein-protein/) protein while 4% used soy protein but it was not concluded what caused the discrepancy.

The only human intervention currently looked at the effects of GSE on induration, a hardness of the breast tissue induced by radiation (used in chemotherapy), it found that 100mg of GSE taken thrice a day for a total of 300mg was able reduce the size of induration by 50% or more in 27.3% of persons tested (6/22) by six months, and one more took the full 12 months to reach 50% reduction of induration.

Analgesic Effect

Study reported on Journal of Life Science showed the analesic effect of grape seed OPC .This investigation in the acidic saline animal model proved grape seed OPC exert potent antihyperalgesic effect and decreased the expression of acid sensing in rats.

Analgesic Effect of Grape Seed Proanthocyanidin Extract in Fibromyalgia

Animal Model

We studied the effects of OPC on the pain threshold in the acidic saline animal model of pain. The left gastrocnemius muscle was injected with 100 µl of saline at pH 4.0 under brief isoflurane anesthesia on days 0 and 5. Control rats (n=5) received identical injections of physiological saline (pH 7.2) on the same schedule. Rats (n=10) with acidic saline injection were separated into two study subgroups. After measurement of pre-drug pain thresholds, rats were injected intraperitoneally with either saline or OPC 300 mg/kg. Paw withdrawal thresholds to pressure were again measured 60 min after intraperitoneal injection. Nociceptive thresholds were measured with a Dynamic Plantar Aesthesiometer by applying an increasing pressure to right or left hind paw until the rat withdrew the paw. Compared to baseline (day 0), acid injections produced mechanical hyper-responsiveness on day 7 (pre-drug) in these rats [$p<0.05$]. A potent antihyperalgesic effect was observed when rats were injected intraperitoneally
with OPC 300 mg/kg [injected paw, $p=0.001$; contralateral paw, $p=0.002$]. OPC treatment
decreased the expression of acid sensing ion channel 3 in the brain motor cortex area on immunohistochemical staining when OPC 300 mg/kg was administered intraperitoneally in the animal model of FM pain [$p<0.05$].

Facial

As an popular ingredient in facial beauty products,grape seed extract is known for its beneficial effect on skin .Scientific reports suggested that the potent ameliorative effect of grape seed extract on skin is associated with its high volume proanthocyanidin.In an oral administraed open-label study on woman candidates with chloasma ,grape seed extect is proved to reduce the

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hyperpigmentation by exerting significant attenuating effect on melanin-index after 6 months of the intake (0.025 +/- 0.005 at the start vs 0.019 +/- 0.004 at 6 months) ($p < 0.01$).A 6-month double blind, placebo controlled, randomized trial on

healthy post-menopausal females suggested that Grape Seed extract OPC as one of many compounds appeared to benefit skin quality and wrinkles around the eyes and face as well as the hand ,compared to placebo for the face after 6 months treatment.

the extract may help maintain skin elasticity; many European skin creams feature grape seed extract for this purpose.

A 6-month trial in healthy post-menopausal females noted that Grape Seed extract was one of many compounds (alongside Vitamin E

(/supplements/vitamin-e/), Vitamin C (/supplements/vitamin-c/), Soy Isoflavones (/supplements/soy-isoflavones/), tomato extract and

fish polysaccharides) that appeared to benefit skin quality and wrinkles around the eyes and face as well as the hand. A significant

increase in skin density was also observed via ultrasound.

In an open-label study on chloasma (dark skin discoloration of the face) Grape Seed Extract was somewhat effective in reducing the degree of pigmentation in most of the study subjects, with increasing benefits of up to 6 months with no significant improvement afterwards.

Anti-inflammatory

Grape Seed Extract (GSE) is apeared to suppresses nitric oxide synthesis and exerts anti-imflammatory effect . Literatures showed that GSE (50ug/mL) exerts more potent inhibiting effect than aspirin (3mM) indomethacin (20uM) and dexamethasone (9nM) in Nitric Oxide (NO) overproduction.Moreover ,there is study also noted the subsequent suppression of pro-inflammatory cytokines secondary to macrophage stimulation, with marked suppression at 10-30ug/mL of IL-6, IL-8, IL-1β and TNF-α while 10ug/mL fully abolished IL-10 secretion from LPS.These results indicate GSE possess greater inflammatory inhibiting response in macrophage than Aspirin.

Grape Seed Extract (GSE) is able to reduce Nitric Oxide (NO) overproduction in stimulated macrophages, and this this study the IC value of GSE (50ug/mL) was more potent than that of aspirin (3mM) indomethacin (20uM) and dexamethasone (9nM) in regards to suppressing nitric oxide synthesis.

When looking at the eventual formation of PGE , an inflammatory prostaglandin, the inhibition was not dose-dependent and GSE was less effective at suppressing formation of PGE relative to the test drugs; it was found that monomeric epicatechin and catechin did not influence macrophages function suggesting the observed results are due to procyanidin polymers, with trimers being most potent.

This inhibition of iNOS and NO formation in stimulated macrophages has been noted elsewhere, as well as the subsequent suppression of pro-inflammatory cytokines secondary to macrophage stimulation, with marked suppression at 10-30ug/mL of IL-6, IL-8, IL-1β and TNF-α while 10ug/mL fully abolished IL-10 secretion from LPS.

These mechanisms appear to be via modulation of iNOS (inducible Nitric Oxide Synthase) expression and reducing IkBα mRNA expression (which reduces NF-kB activation and subsequent inflammation) and a subsequent study on Colitis confirmed less activity of IkBα and less phosphorylation (activation) of the IKKα/β complex, with less subsequent nuclear translocation of

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NF-kB (that was not dose dependent, and occurred to similar degrees at 100, 200 and 400mg/kg intake in rats). This lesser activity of NF-kB has also been noted in human adipocytes, where it reduced the inflammation-mediated suppression of insulin signalling.

Appears to suppress the inflammatory response in macrophages (mediators of inflammation) with a potency greater than Aspirin, but suppresses subsequent inflammation less than Aspirin.

Lessen allergy symptoms

Grape Seed Extract (GSE) is a putative natural antihistamine.In vitro study showed that GSE suppress proliferation on T cell .The study result suggested a dose-dependent suppression in response to PMA/ionomycin and Con A at G /G with concentration of 6.25ug/mL showed the most significant inhibition .Through oral intake investigation ,GSE dose-dependently supressed total lymphocytes which are boosted during the inflammation .Literatures also noted that GSE may help to same common allergic reactions including the sneezing, congestion,hives, hay fever and eczema.

An in vitro study of Grape Seed Extract (GSE) on T cell proliferation noted that GSE was able to suppress proliferation in response to PMA/ionomycin and Con A by arresting the cells at G /G , with concentrations of 1.56, 6.25 and 25µg/ml arresting approximately 57.2, 72.0 and 71.2% of the cells; respectively. Most significnat improvements were seen with the 6.25ug/mL concentration.

Further testing showed decreased activation of ERK1/2, JNK1/2, and p38 which is thought to be secondary to inhibiting degradation of IκB (dosedependently)

and nearly abolishing nuclear translocation of NF-kB at 25ug/mL.

Oral intake of 30, 100, and 300mg/kg GSE over 8 days was able to suppress inflammation (ear thickness) in response to topical antigens.

When draining the inflammation, an increase of total lymphocytes was observed in the test group and this increase was suppressed

with oral intake of GSE in a relatively dose-dependent manner.

As a natural antihistamine, grape seed extract may help to control the sneezing, congestion and other hallmarks of an allergic reaction. The extract also inhibits the release of chemicals called prostaglandins that can generate inflammation during an allergic response. Working in concert, the nutrient's antihistamine and anti-inflammatory actions can help to keep at bay such allergic responses as hives, hay fever and eczema.

Hair growth

Study in vitro and in vivo showed Grape Seed Extract (GSE) possible benefical effect on hair growth .Secientists examined GSE activity in growth-promoting in regards to hair follicle cells from C3H mice in vitro and in vivo.The results indicated a statistically significant in proliferation promtion of hair follicle cells by about 230% relative to controls (100%).Moreover ,in vivo test sytems GSE proanthocyanidins also exerts remarkable hair-cycle-converting activity which suggested the possibility of GSE’s application in inducing hair growth.

After an extensive search, we discovered that proanthocyanidins extracted from grape seeds promote proliferation of hair follicle cells isolated from mice by about 230% relative to controls (100%); and that proanthocyanidins possess remarkable hair-cycle-converting activity from the telogen phase to the anagen phase in C3H mice in vivo test systems. The pro¢le of the active fraction of the proanthocyanidins was elucidated by thiolytic degradation and tannase hydrolysis.We found that the constitutive monomers were epicatechin and catechin; and that the

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degree of polymerization was 3.5. We demonstrated the possibility of using the proanthocyanidins extracted from grape seeds as agents inducing hair growth.

Antibacterial

GSE is being investigated for its potential antibacterial properties.Early reseach on Food Research International suggested GSE possesses potent antibacterial activity .By pour plate method ,grape seed extracts exhibited antibacterial effect against all bacterias tested with gram-positive bacteria were completely inhibited at 850–1000 ppm;gram-negative bacteria were inhibited at 1250–1500 ppm concentration .

These extracts were tested for antibacterial activity by pour plate method against Bacillus cereus, Bacillus coagulans, Bacillus subtilis, Staphylococcus aureus, Escherichia coli and Pseudomonas aeruginosa. It was found that, Gram-positive bacteria were completely inhibited at 850–1000 ppm, while Gram-negative bacteria were inhibited at 1250–1500 ppm concentration. The results have shown that the grape seed extracts exhibited antibacterial effect against all bacterias tested as shown in

Applications

Dosing

Remcomand dosing of this product is 100mg -200mg per day .

Interactions

It could interact with drugs like blood thinners , NSAID painkillers (like aspirin, Advil, and Aleve), certain heart medicines, cancer treatments, and others.

Adverse Reactions

Grape seed extract is generally considered safe. Possible side effects may include headache, itchy scalp, dizziness, and nausea.

Toxicology

In the subchronic toxicity study No Observable Adverse Effects Limit established.