



ALLICILLIN™

WITH STANDARDIZED GARLIC SULFIDES & AJOENE
60 SOFTGELS | NPN80073591 | ALLS60-CN

Allicillin™ softgels contain 200 mg of Garlicillin®, a blend of garlic oil and parsley oil with specified levels of garlic sulfides and ajoene, highly bioactive compounds formed from garlic. These softgels are enteric coated to reduce the strong garlic odor and repeating that may occur from supplementing with garlic. The parsley oil further helps as a natural breath freshener.

The phytochemistry of garlic is complex, but research shows that garlic's unique organosulfur compounds are responsible for its broad range of health benefits. The best known and studied of these bioactive compounds is allicin. Through its decomposition, allicin breaks down to form a variety of organosulfur compounds, which include garlic sulfides—diallyl sulfide (DAS), diallyl disulfide (DADS), and diallyl trisulfide (DATS)—and ajoene, as found in Allicillin™ softgels.

HIGHLIGHTS: AJOENE & SULFIDES

Ajoene (from “ajo,” the Spanish word for garlic) is a natural product of allicin degradation in oil, and it is considered one of the most bioactive and stable allicin metabolites.^{1,42} Research studying ajoene showed its ability to inhibit platelet aggregation through various mechanisms — blocking tyrosine phosphatase activity in human platelets, enhancing platelet-derived nitric oxide, and preventing platelets' ability to bind to fibrinogen by interacting with GPIIb/IIIa receptors.¹¹

The antimicrobial (antibacterial and antifungal) properties of ajoene have received considerable attention. Studies show that ajoene exhibits broad spectrum antimicrobial activity against growth of gram-positive bacteria such as *Bacillus cereus*, *B. subtilis*, *Mycobacterium smegmatis*, *Streptomyces griseus*, *Staphylococcus aureus*, and *Lactobacillus plantarum*.^{2,37} Growth of gram-negative bacteria such as *Escherichia coli*, *Klebsiella pneumonia* and *Xanthomonas maltophilia* is also inhibited by ajoene, although at higher doses than for the gram-positive strains.

Ajoene is more effective than allicin against *Aspergillus niger* and *Candida albicans*¹⁰ at <20mcg/ml, due in part to its ability to block lipid synthesis.² In clinical studies ajoene was shown to be as effective or better than the common antifungal, ketoconazole, when tested on *C. albicans*, *Aspergillus*, *Malassezia furfur*, *Cryptococcus* and other *Candida* species and shown to externally treat ringworm, skin parasites, and warts, as well tinea pedis (athlete's foot).^{7,12} Ajoene has been shown to be more effective than sulfametoxazol/trimethoprim, a combination antibiotic, in mice with *Paracoccidioides brasiliensis*.² Additionally, one study revealed the mechanism in which ajoene-induced ROS (reactive oxygen species), ER (endoplasmic reticulum) stress and macrophage autophagy has on reducing *Mycobacterium tuberculosis* in vitro.¹⁴ The antiparasitic and cytostatic action of ajoene inhibits *T. cruzi*, trypanothione reductase and glutathione reductase activity, key antioxidant thiol metabolism enzymes.²

Ajoene and other garlic extracts were tested in vitro against several viruses, including human *Cytomegalovirus*, influenza B, *Herpes simplex* types 1 and 2, Parainfluenza virus type 3, vaccinia virus, vesicular stomatitis virus, and human rhinovirus type 2, as well as the common cold virus.² Ajoene was found to have the greater virucidal activity than allicin and the other garlic extracts tested.¹⁴ Ajoene also demonstrates chemopreventive properties due to its ability to arrest cancer cell progression, increase tumor suppressor gene expression, inhibit angiogenesis and modulating various other genetic pathways that induce cancer cell apoptosis.¹⁵ Ajoene has also been shown to target Glioblastoma Multiforme cancer stem cells, as well as, targeting and modifying the vimentin protein in cancer cells which contributes to the anti-metastatic and anti-proliferative effects of this garlic derivative.^{16-17, 31-34}

An in vitro study examining the effect ajoene has on intestinal IgA in mice showed an increase in fecal IgA just after 3 weeks of administration, suggesting that ajoene may enhance mucosal immune function.¹⁹

GARLIC SULFIDES

Garlic sulfide compounds—diallyl sulfide (DAS), diallyl disulfide (DADS), and diallyl trisulfide (DATS)—have an extensive body of research supporting their efficacy across a wide range of actions. They may be beneficial for cardiovascular health,

as they have been shown to protect against oxidation of LDL particles in humans.^{11,21} In a randomized trial that assessed the potential for aged garlic extracts to reduce the progression of coronary atherosclerosis, after 12 months of treatment, the increase in adipose tissue around the heart, lungs, and vital organs was significantly lower than the control group, which is a well-known mediator of metabolic risk.²² In another study, after 14 days of administration in cardiac hypertrophy-induced rats, garlic and DADS activates mitochondrial synthesis and alleviates cardiac hypertrophy by enhancing mitochondrial endothelial nitric oxide synthase activity, protein kinase B and antioxidant-protective gene expression NRF2 and Tfam.²³

A meta-analysis of RCTs showed that supplemental administration of garlic significantly lowered fasting blood glucose, plasma fructosamine, and hemoglobin A1c compared to the controls leading researchers to conclude that these garlic-derived sulfur compounds may benefit patients with diabetes-related vascular complications.²⁴

Similar to ajoene, garlic sulfides exhibit antimicrobial activity. In an in vitro study, researchers examined the antimicrobial activities of fresh garlic extract (FGE) upon multi-drug resistant strains, finding FGE to exhibit strong inhibition properties against methicillin-resistant *Staphylococcus aureus* (MRSA) and *Candida albicans* suggesting that DAS and DADS could help improve antibiotic sensitivity of pathogens to certain antibiotics and aid treatment of infections.²⁵ Another in vitro study showed that the normal metabolism and function of *C. albicans* was disrupted in response to the garlic oil treatment after only one day of incubation, further providing more evidence on garlic's excellent antifungal properties.²⁷ It may be reductions in overall inflammation and these glycoproteins involved in blood clotting that underlie garlic's well-recognized antithrombotic and anti-platelet aggregation properties.²⁶ DADS and DATS have been shown to reduce lipopolysaccharide-induced inducible nitric oxide synthase, nitric oxide production, oxidative stress, and activation of NF-kappaB as well as reduce lipid peroxidation in human epithelial cells, suggesting DAS is effective in recovering cell viability and abating DNA damage.²⁷ Another study demonstrates DAS' role as a cytochrome P450 2E1 enzyme inhibitor capable of preventing cellular toxicities from xenobiotics, alcohol, and analgesic drugs, as well as, from diseases such as HIV, cancer, diabetes/hyperlipidemia, and Parkinson's disease.^{33, 35, 42} The anti-inflammatory effects of garlic sulfides extend to reducing inflammatory markers in a murine model of inflammatory bowel disease.²⁸ Additional anti-inflammatory effects of garlic sulfides include protecting against NSAID-induced enteropathy and dysbiosis, oxidative stress-induced inflammation of the airway via inhibiting TNF-alpha and histamine-induced inflammation in A7r5 cells, as well as periodontal Porphyromonas gingivalis.²⁹⁻³¹

WHY NOT ALLICIN?

The use of garlic sulfides and ajoene in Allicillin™, rather than standard allicin, was arrived at after careful consideration of the many problematic aspects of providing allicin in supplement form. Allicin is not present in fresh, intact garlic cloves. The predominant sulfur compound in whole, undamaged garlic is alliin. The alliinase enzyme, present in high levels in garlic, is contained in a separate compartment of the garlic, and it only acts upon its alliin substrate when the plant is injured. When fresh garlic cloves are crushed or chopped, or when garlic powder (that has been carefully dried to preserve its alliin/alliinase content) is added to water, allicin is quickly produced by the action of alliinase on alliin.³²

Many dietary supplement companies claim to provide a product that delivers allicin. Allicin is often listed on labels as "allicin yield," or "allicin potential," reflecting the compound's instability and the inability to specify and guarantee allicin content and potency. Allicin potential is measured in laboratories using dried garlic powder that is added to water so that the alliin and allinase can quickly react to form allicin. The amount of allicin produced is the measure of allicin potential. However, this laboratory assay does not accurately reflect production of allicin when such garlic supplements are swallowed. The allinase enzyme is rapidly and completely destroyed by stomach acid, and allicin cannot be made from alliin in the absence of active allinase. Some garlic products claim to address this issue by using an enteric coated delivery method. Unfortunately, such methods have unimpressive efficacy. In a report of testing twenty-three enteric coated U.S. garlic supplements, twenty of the twenty-three failed to release even 15% of their claimed "allicin potential" when placed in simulated intestinal fluid.³¹ The study authors concluded that allicin potential is a poor measure of garlic supplement activity in the human body and should not be used for the standardization of garlic supplements. Considering the questionable utility of allicin potential, Allicillin™ was developed to contain sulfides and ajoene, the inherently stable metabolites of allicin.

WHO SHOULD TAKE ALLICILLIN™?

Patients with recurring yeast infections, bacterial or viral infections, lipid abnormalities, platelet aggregation, inflammation, immune deficiency and/or history of heart disease. Consider Allicillin™ supplementation during antibiotic usage to prevent yeast overgrowth, a common side effect of antibiotic therapy. Allicillin™ has blood thinning capabilities and reduces platelet aggregation. Be cautious when recommending this product to patients taking Coumadin, Warfarin or other anticoagulant medications.

HEALTH BENEFITS OF AJOENE MAY INCLUDE:

- anti-bacterial activity
- anti-viral activity
- anti-fungal activity
- anti-inflammatory properties

Medicinal Ingredients (per softgel):

Garlicillin® (Garlic Essential Oil [*Allium sativum*-Bulb] and Parsley oil (*Petroselinum crispum*-Seed) blend containing 20 mg garlic diallyl sulfide, diallyl disulfide, diallyl trisulfide and ajoene).....200 mg

Non-Medicinal Ingredients: Olive oil, yellow beeswax, sunflower lecithin, bovine gelatin, glycerine, purified water, annatto (natural colour), pharmaceutical glaze, sodium alginate (softgel ingredients). **Recommended Dose:** Adults: Take 1 softgel once per day, or as recommended by your health care practitioner.

REFERENCES

For a list of references cited in this document, please visit: http://catalog.designsforhealth.com/assets/itemresources/Allicillin_References.pdf

Allicillin™

Get the benefits of garlic without the odor!

Allicillin™ is a blend of garlic oil and parsley oil containing ajoene and garlic sulfides, the primary bioactive compounds in garlic. These softgels are free of garlic odor because they are enteric-coated, allowing them to reach the small intestine before dissolving. This is unlike other products that dissolve in the stomach, which may result in them repeating on you and causing garlic breath. The parsley oil further helps as a natural breath freshener.

What Makes Garlic So Powerful?

Garlic contains unique sulfur compounds that promote the broad range of health benefits this plant has been associated with for centuries. These beneficial compounds appear only when the plant is injured, such as when fresh garlic cloves are crushed, chopped, or chewed. The main such compound, and the best known and studied, is allicin. However, due to its complex and volatile nature, it is difficult to provide precise amounts of stable allicin in supplement form. This product instead contains garlic sulfides and a compound known as ajoene, (from “ajo,” the Spanish word for garlic), which is one of the primary metabolites of allicin.

Effects of Ajoene

Ajoene helps with a healthy inflammatory response and with the regulation of normal platelet function, both of which underlie garlic’s well known role in promoting cardiovascular health. It may also help support healthy cholesterol levels. Ajoene is responsible for many of garlic’s other historically recognized effects, such as inhibiting the normal overgrowth of unfriendly organisms, including bacteria, parasites, and fungi (yeast).

Garlic Sulfides

Garlic sulfides are additional bioactive compounds in garlic. They support a healthy inflammatory response and protect against oxidative stress, as well as mirroring some of the beneficial effects of ajoene. Scientific research indicates that the efficacy of garlic sulfides may be related to the number of sulfur atoms present. Allicillin™ contains diallyl sulfide, diallyl disulfide, and diallyl trisulfide – compounds that contain one, two, and three sulfur atoms, respectively.

Recommended Use: As a dietary supplement, take one softgel per day, or as directed by your health care practitioner.

Caution: Consult your health care practitioner before use if you are taking blood thinning medication.

Benefits

- Helps prevent overgrowth of unfriendly organisms
- Supports a healthy inflammatory response
- Provides antioxidant protection against damaging free radicals
- Supports healthy blood lipid levels
- Regulates healthy platelet function
- Supports the immune system
- Promotes cardiovascular health



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