Designs for Health is pleased to present Allicillin™ with 100 mg Garlicillin™, and Allicillin Pro™ with 200 mg Garlicillin™.

Garlicillin™ is garlic oil macerate standardized to 1% ajoene (pronounced ah-hoe-ene) and also contains dithiins and allyl sulfides. These two Allicillin™ formulations are the first ever commercially available garlic oil macerate products containing a standardized level of ajoene, the most active compound formed from garlic.

What is Ajoene?
Ajoene is an organic trisulfur compound formed from three molecules of allicin1. According to Dr. Eric Block, leading expert in garlic sulfur compounds, ajoene is the most active of the three heavily researched allicin metabolites that also include dithiins (pronounced di-thigh-ins) and allyl sulfides2. Ajoene consists of two isomers (E and Z) and is chemically represented by 4,5,9-trithiadodeca-1,6,11-triene-9-oxide3. Since the discovery and identification of ajoene, there have been many studies that have demonstrated its related health benefits, which include:

- Anti-bacterial4-6
- Anti-lipidemic16-17
- Anti-fungal7-12
- Anti-inflammatory18-19
- Anti-parasitic13
- Anti-tumorigenic and Anti-mutagenic20-22
- Anti-thrombotic and Anti-platelet14-15

What is Garlic Oil Macerate?
Garlic oil macerate is a popular health food in Europe5, 23. It is prepared by mixing mashed or chopped garlic in vegetable oil. Garlic oil macerate, which has been a dietary supplement for many decades, is the only garlic supplement that contains significant quantities of ajoene and dithiins5, 23-24. Lawson et al. reported that garlic oil macerates contain between 15 to 115 microgram/gram of ajoene and 70 to 690 microgram/gram dithiins24. Garlicillin™ is a powdered ingredient from garlic oil macerate that is currently standardized with 1% ajoene (10,000 mcg/g), and also contains significant levels of dithiins and allyl sulfides. Allicillin Pro™ with 200mg Garlicillin™ is up to over 2000 times more potent than a typical 500 mg non-standardized garlic oil macerate product. No other commercially available garlic preparation contains near the level of ajoene available from Allicillin Pro™.

What about Allicin?
The chemistry of garlic is extremely complex, but research has shown that it is garlic’s unique organosulfur compounds that promote its broad range of potential health benefits. Garlic has many bioactive components, the best known and studied of which is allicin. It is ironic that allicin does not exist in fresh, undamaged garlic cloves. The predominant garlic sulfur compound found in the garlic plant is alliin. Garlic also contains high levels of an enzyme called allinase. Alliin and allinase are held in different compartments of the garlic plant, by design, to react only 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/allinase content) is added to water, allicin is produced in seconds by the action of allinase on alliin25.

Many dietary supplement companies claim to provide a product that delivers allicin. Due to its instability allicin is often listed on labels as “allicin potential” or “allicin yield”. Allicin potential is measured in a laboratory by 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, the situation is very different when such garlic supplements are swallowed. The allinase enzyme is rapidly and completely destroyed by stomach acid. Allicin cannot be made from alliin in the absence of allinase enzyme. Some garlic products claim to address this issue by using an enteric coated delivery method. Unfortunately, such methods do not work well at all. Lawson and Wang reported the results of testing twenty-three enteric coated U.S. garlic supplements in 200125. Twenty of twenty-three failed to
release even 15 percent of their claimed allicin potential when placed in simulated intestinal fluid. Lawson and Wang concluded that allicin potential is an extremely poor measure of biological activity in the human body and should not be used for standardization of garlic supplements. Considering the questionable utility of allicin potential, technology was developed to produce the inherently stable metabolite of allicin, ajoene.

**The Research on Ajoene is Broad and Impressive**

In 1983, Apitz-Castro et al. isolated three garlic compounds that inhibited human platelet aggregation26. Two of the compounds were identified as an allyl sulfide and a dithiin but the third, which was four times more potent than the other two, was not clearly identifiable. Subsequently that third unidentified compound was later named ajoene from “ajo” the Spanish word for garlic, by Block et al (1984)3. From published literature search it is apparent that the antimicrobial (antibacterial and antifungal) properties of ajoene have received considerable attention. Studies show that ajoene exhibits broad spectrum antimicrobial activity27. Nagawan et al. found that ajoene inhibited the growth of gram positive bacteria such as Bacillus cereus, B. subtilis, Mycobacterium smegmatis and Streptomyces griseus at 5 ug ajoene per ml and Staphylococcus aureus and Lactibacillus plantarum below 20 ug per ml. They also reported that growth of gram-negative bacteria such as Escherichia coli, Klebsiella pneumonia and Xanthomonas malatphila were also inhibited by ajoene at higher doses, 100 to 160 ug/ml. Ajoene from garlic oil macerate likewise inhibited the growth of Helicobacter pylori at 10 to 25 ug/ml.

Yoshida et al showed that ajoene is more effective than allicin against Aspergillus niger (16.6 ug/ml vs. 30.9 ug/ml) and Candida albicans (7.6 ug/ml vs. 17.3 ug/ml)21. In clinical studies ajoene was shown to be as effective or better than terbinafine in the treatment of tinea pedis, tinea corporis, and tinea cruris10. Ajoene was also effective against Paracoccidioides brasilienesi, a common fungus that causes systemic mycoses in Latin America7, 11. When tested against Scedosporium prolificans, a fungus that is very difficult to treat, ajoene had a minimum inhibition concentration (MIC) of 2.0 to 8.0 mg/l compared to 2.0 to >16 mg/l for amphotericin B and >16 mg/l for itraconazole 8. Incredibly, ajoene has even successfully treated malaria in an in vivo animal model13.

Ajoene has anti-inflammatory, antioxidant, hypolipidemic, antimicrobial (both bacterial and fungal), and antiplatelet aggregation properties. It has been shown to inhibit platelet aggregation, reduce platelet aggregation-induced thrombus formation, and has potential to reduce myocardial reperfusion injury28. Ajoene is effective against Gram-positive and Gram-negative bacteria, fungi, and parasites29.

**Who should take Allicillin™?**

Patients with recurring yeast infections, bacterial or viral infections, lipid abnormalities, platelet aggregation, inflammation, immune deficiency or history of cancer or heart disease. Consider Allicillin™ supplementation during antibiotic usage to prevent yeast overgrowth, a common side effect of antibiotic therapy. Allicillin Pro™ may help improve symptoms of Lyme disease. This product may be used in high doses for acute conditions and can be taken as directed daily for prevention.

**References**