



AN UPDATED REVIEW ON PHARMACOLOGICAL ACTIVITIES AND THERAPEUTIC APPLICATIONS OF GARLIC (ALLIUM SATIVUM)

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ABSTRACTS

From ancient time the medicinal plants and herbs play an important role globally to maintain the health of human beings as well as animals and environmental health. Approximately more than 150 medicinal herbs and plants were discovered in Ayurveda (an Indian traditional system of medicines). For the improvements of novel drug discovery and development process, a number of phytoconstituents are isolated from these medicinal plants. From these phytoconstituents, some are bioactive as well as pharmacologically active constituents and are widely used for the prevention and treatment of various diseases. Garlic is an important medicinal herb containing broad spectrum biological, pharmacological and therapeutical value and is widely utilized in Indian traditional (Ayurveda) as well as allopathic medicine system. The various phytoconstituents of garlic have broad spectrum biological and pharmacological activities such as antifungal activity, antibacterial activity, antiprotozoal activity, immunomodulatory activity, aphrodisiac activity, antiviral activity, anticancer activity, antioxidant and antidiabetic activity. This review represents the various medicinal properties, biological as well as pharmacological activities, and therapeutic utilizations of constituents of garlic plants.

KEYWORDS: Ancient, phytoconstituents, therapeutic, traditional and allopathic spectrum.

INTRODUCTION

Garlic is an ancient medicinal plant which is commonly known as *Lasan* in Hindi. The biological source of garlic is the ripe bulb of *Allium sativum* which belongs with family Liliaceae (Alliaceae). The Indian medicine system utilized the garlic from 5000 year ago as medicinal plant while there is historical evidence is found that Babylonian utilized the garlic from 4500 year ago and Chinese utilized the garlic plant from 2000 year ago as medicinal plant. The garlic plants are widely cultivated in India, Pakistan, China, Europe, Africa, and USA.^[1,5] Garlic contains a number of useful minerals, vitamins, protein, fat, calcium, potassium, phosphorous, sulfur, iodine fiber and silicon and other chemical constituents which are responsible for bioactivity and pharmacological activities and are used to maintain the health of human beings as well as animals.^[2] The bulbs of garlic consist of number of bulblets which is called as cloves of garlic and may be vary in size.^[3] The phytoconstituents of garlic shows different biological and pharmacological activities such as antifungal activity, antibacterial activity, antiviral activity, anticancer activity, antioxidant and antidiabetic activity.^[4, 5] The bulbs of garlic are pungent in taste because it produces a powerful onion like aroma so it cannot be used as raw for eat. Garlic can produce bad

smell with breath and can causes stomach burning as like acidity, heartburn, gas, nausea etc.^[6, 7] Approximately 33 sulfur compounds, 17 amino acid and their glycosides, minerals and enzymes are found in garlic bulbs.^[8] Garlic shows number of pharmacological activities like carminative, aphrodisiac, expectorant, and stimulant activity etc. In various research studies, it is reported that the whole plant of garlic having various bio activities such as scavenge oxidants, increases the level of superoxide dismutase, catalase, glutathione peroxide, glutathione and inhibit lipid peroxidation as well as reduces the synthesis of cholesterol by inhibiting 3-hydroxy-3-methylglutaryl-coA.^[9, 10]



Figure-1

Chemical composition of garlic

In the garlic plant amino acids are the main chemical constituents. An alkyl derivative of cysteine alkyl Sulfoxide, Alliin is an amino acid. Garlic contains at least 100 sulfur containing components basic to medicinal uses. Allicin is most important biological active compound of garlic.^[11] Allicin was discovered in 1944 by Cavillito and Baily.^[12] 1, 3-vinyldithiin (2-vinyl4H-, 3-dithiin), 1,2-vinyldithiin (3-vinyl-4H-1,2-dithiin) and lesser amounts of ajoene (E,Z-4,5,9-trithiododeca-1,6,11-trien 9-oxide) and sulfides. By the incubation in methanol, the pure allicin gives 8% Diallyl trisulfide and about 20- 25% of ajoene and vinyldithiins. The garlic oil is found by the steam distillation of garlic bulbs. The garlic oil contains Diallyl (57%), allyl methyl

(37%), and dimethyl mono to hexa sulfides (6%). In the total thiosulfates approximately 70-80% Allicin is reported. Allins are the main sulfur compound of the garlic which is reported approximately 10-30 mg/g in fresh and dry garlic.^[13] Allins containing a higher concentration of sulfur compounds than any other allium species which are responsible both for garlic pungent taste and odor and also responsible for its medicinal value.^[14] Bulbs of allium sativum are reported to contains of photochemical compounds including sulfur containing compounds (Table 1) such as ajoenes, thiosulfates (allicin), vinyldithiins, Diallyl disulfides (DADS), DATS (Diallyl trisulfide) and others that accounts 82% of the overall garlic sulfur content.^[15, 16]

Table 1: List of compounds, molecular formula and medicinal values of Garlic.

S no.	Compounds	Molecular formula	Medicinal value
1	Allicin	$C_6H_{11}NO_3S$	Antifungal and antibacterial
2	E-Ajoene	$C_6H_{10}OS_3$	Antioxidant and antithrombotic
3	Z-Ajoene	$C_9H_{14}OS_3$	Antifungal and antibacterial
4	2-Vinyl-4H-1,3-dithiin	$C_9H_{14}OS_3$	Anti-cancerous and Antioxidant
5	Diallyl sulfide	$C_6H_8S_2$	Anti-cancerous and anti-toxins
6	Diallyl trisulfide	$C_6H_{10}S$	Anti-cancerous and drug metabolites
7	Alkyl methyl sulfide	C_4H_8	Gastro-intestinal protection, Antioxidant, antitumor

Pharmacological activities of garlic (*Allium sativum*)

- 1. Antibacterial activity-** From research studies it is estimated that the garlic has broad spectrum antibacterial activity and it effective against various verities of bacteria. The antibiotic activity of garlic is due to presence of Allicin constituents and is used in various antibacterial pharmaceutical preparations for the treatment of different types of bacterial infections.^[17] The alcoholic and aqueous extract of garlic cloves showing bacterial growth inhibitory activity against *E. coli* and *Sol. typhi* bacteria in an invitro studies.^[18]
- 2. Antiviral activity-** The antiviral activity of garlic extracts were reported in various research studies. In

a double blinded placebo controlled study, it has been showed that the garlic extracts significantly diminish the effects of the common cold virus.^[19] In other research study in Japan, it was found that the aqueous fraction of garlic bulbs protects from influenza and herpes virus.^[20]

- 3. Antifungal activity-** In various studies suggested that the aqueous, petroleum and alcoholic fraction shows the antifungal activity against various types of pathogenic fungi such as *Trichophyton verrucosum*, *T. mentagrophytes*, *T. rubrum*, *Penicillium expansum*, *Botrytis cinerea*, *Candida* species, *Epidermophyton floccosum*, *Aspergillus niger*, *A. flavus*, *Microsporum gypseum*, *M.*

audouinii, *Alternaria alternate*, *Neofabraea alba*, and *Rhizopus stolonifera* etc which may causes fungal infection in human beings, animals as well as plants.^[21] Sabitha P et al suggested in her research study that the oil of garlic cloves reduce the fungal infection on the skin of rabbits and guinea pigs.^[22]

4. **Anti-parasitic activity-** The alcoholic extracts of crushed garlic cloves exhibits anti-parasitic activity against various intestinal parasites such as *Entamoeba histolytica*, *Ascaris lumbricoides*, *Entamoeba histolytica* and *Giardia lamblia* due to presence of allicin in the garlic.^[23] In an in-vitro study it was found that the aqueous juices at different concentrations (20, 40, 60, 80 and 100%) exhibits anti-parasitic activity on adult female *L. kroyeri*.^[24]
5. **Anti-protozoal activity-** In an invivo study it is found that the ethanolic as well as butanolic fraction of *Allium sativum* showed potent anti-protozoal activity against *Taenia taeniaeformis*, *Hymenolepis microstoma*, *H. diminuta*, *Echinostoma caproni*, and *Fasciola hepatica* protozoa.^[25] In another invivo research study suggested that the extracts of garlic (100 mg/ kg body weight) showed anti-protozoal activity against *Antamoeba gingivalis* because the garlic extract inhibits the protozoal infection in the patients who were suffered with periodontal disease and compared with standard drug (metronidazole).^[26]
6. **Antihypertensive activity-** In an invitro experimental study it was showed that by the administration of garlic extract at a dose of 100 mg/kg for 5 days, garlic decreased endothelin-induced acute hypoxic pulmonary vasoconstriction in an isolated pulmonary arteries of rats. The mode of action of garlic is the inhibition of the production of angiotensin II.^[27] In an experiment it was observed that the alcoholic extracts garlic showed the antihypertensive activity because it exhibit fibrinolytic activity in blood plasma.^[28] In another in-vivo experiment, the antihypertensive activity of aqueous fraction of crushed garlic cloves was observed in albino rat by the using of '2 kidney 1-clip' model because aqueous fraction of crushed garlic cloves reduces thromboxane B2 and prostaglandin E2 level in tested rat models.^[29]
7. **Neuro protective activity-** The aqueous and alcoholic extracts of garlic as well as oil of garlic showed neuro-protective activity against neurodegenerative diseases such as Alzheimer's disease (AD), Dementia (Loss of memory), Parkinson's disease (PD), Huntington's disease (HD) etc. The acetylcholine (ACh) is responsible for the neuro generation and proper function of neurons. The garlic acts as anti-cholinesterase E (ACh E) and reduces the level of cholinesterase E by which cleavage of acetylcholine is also reduced and acetylcholine level is increased.^[30]
8. **Anti-hyperglycemic activity-** The antihyperglycaemic activity of garlic extracts was

estimated in an invivo studies in experimental rats and rabbits model and found that the methanolic fraction or extract of garlic cloves decreased the blood sugar level in the streptozotocin induced diabetic mellitus rats and rabbits models because the methanolic extract activated the pancreatic beta cell and increased the insulin level in the rat and rabbit models.^[31] In another clinical research study it was found that the blood glucose level was decreased by the oral administration of garlic pills at dose 900mg/day in adult patients who were affected with type II diabetic mellitus.^[32]

9. **Anti-inflammatory activity-** In a histopathological experimental study it was identified that Z- and E-ajoene and oxidized sulfonyl derivatives of ajoene showed anti-inflammatory activity because sulfur containing compounds of garlic diminished the production of nitric oxide (NO) and prostaglandin E(2) as well as nuclear factor- $\kappa\beta$ (NF- $\kappa\beta$) transcriptional activity.^[33]
10. **Antioxidant activity-** A research study reported that the aqueous extract of garlic acted as antioxidant because it stimulated the expression of various antioxidant enzymes such as glutamate-cysteine ligase modifier (GCLM) and heme oxygenase-1 (HO-1) subunit which protected the human endothelial cells against oxidative stress.^[34] In another study on experimental mice it was estimated that the saponins from aqueous garlic extract were reported to breaked the intracellular ROS and protected from hydrogen-peroxide induced DNA damage.^[35] Wallock-Richards et al 2014 suggested that the garlic regulated the oxidative stress against gentamycin and acetaminophen-induced hepatotoxicity.^[36]
11. **Effect on obesity-** Obesity is a pathological condition which deals with accumulation of excess amount of fat in the body may cause various negative effects on health such as dyslipidemia, hypertension, arrhythmia and metabolic syndrome. In an experimental research study it was found that the aqueous as well as alcoholic extracts of garlic showed antiobesity activity and reduced body weight and maintain plasma lipid profiles in high-fat diet-induced obesity mice models.^[37] In another research study the antiobesity effect of garlic extract was shown due to presence of Ajoene in garlic extract because the garlic extract stimulated the AMP-activated protein kinase (AMPK) by which multiple genes expression was decreased.^[38]
12. **Effect on spermatogenesis -** In an experimental study, it was found that the alcoholic fraction as well as aqueous solution of crushed garlic acted contraceptive because garlic extracts increased the number of tubules deprived of spermatozoa in experimental male rats. In this histological study it was also observed that the level of serum testosterone was decreased by the administration of garlic fractions in male rats.^[39]

13. Diuretic effects- Pantoja et al. (1991, 1996 and 2000) suggested from her comprehensive research study that the garlic extracts showed the diuretic activity on anaesthetized dogs and rabbits by the administration of garlic extract through intravenous (IV) route and observed diuretic responses.^[40] In another study the diuretic effects of purified garlic fraction was observed by the intravenous (IV) administration at 6 µg/kg dose on anaesthetized dogs

because the garlic inhibited Na, K-ATPase of dog's kidney.^[41]

Mode of action of bioactive compounds of garlic- There is various mode of action of bioactive components of garlic in pharmacological activities. Some mode of action of pharmacological activities are given below in figure-2

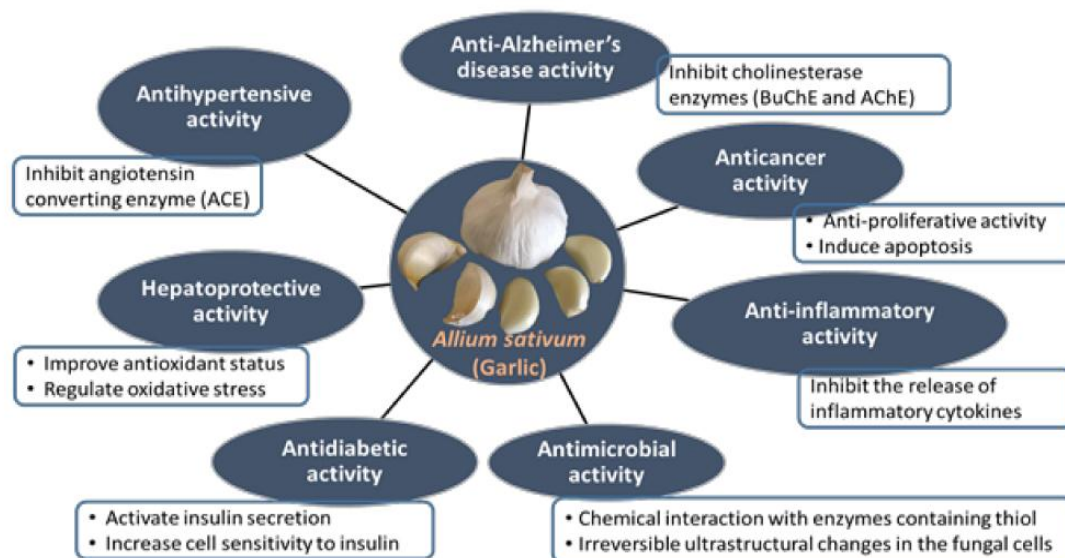


Figure-2.

Therapeutic applications and medicinal uses of garlic

- Garlic acts as diuretics and is used in treatment of edema and in hypertension.
- Garlic acts as anti-obesity and is used to decrease the body weight.
- Garlic acts as hypoglycemic and is used in treatment of hyperglycemia.
- Garlic shows anti-inflammatory activities and is used to treat the various types of inflammations.
- Garlic shows neuroprotective activities and is used in treatment of various neurodegenerative diseases.
- Garlic is used in treatment of dyslipidemia.
- Garlic is used in treatment of atherosclerosis, heart attack, CHD and hypertension.
- Garlic is most commonly used as a flavoring agent as well as spicy in cooking.
- Garlic is used in treatment of cancer and leukemia.
- Garlic acts as diuretics and is used in treatment of edema and in hypertension.
- Garlic acts as antimicrobial agent and is used in treatment of various types of microbial infections like bacterial infection, viral infection, protozoal infection and fungal infection.

CONCLUSION

Garlic is an important herb which has various medicinal value as well as number of therapeutic uses. In this

review, we focused on the various effects of *Allium sativum* (Garlic) extracts and its related compounds such as alliin, allicin, ajoenes, vinylthiins, and sulfides which are obtained by the extraction and isolation process and these isolated compounds having various biological and pharmacological properties such as antihypertensive anticarcinogenic, antioxidant, antidiabetic, immunomodulatory, anti-atherosclerotic, antibacterial, antifungal, antiprotozoal, and anticoagulants activities. Due to multiple therapeutic properties of garlic play an important role in the preparation of medicinal and pharmaceutical formulations as well as also play an important role in maintenance of humans, animals and environmental health in whole world.

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