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Potential therapeutic effects of functionally active compounds isolated from garlic

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ABSTRACT

The medicinal properties of functionally active organosulfur compounds such as allin, diallyl disulfide, S-allylmercaptocysteine, and S-trityl-L-cysteine isolated from garlic have received great attention from a large number of investigators who have studied their pharmacological effects for the treatment of various diseases. These organosulfur compounds are able to prevent for development of cancer, cardiovascular, neurological, and liver diseases as well as allergy and arthritis. There have been also many reports on toxicities and pharmacokinetics of these compounds. The aim of this study is to review a variety of experimental and clinical reports, and describe the effectiveness, toxicities and pharmacokinetics, and possible mechanisms of pharmaceutical actions of functionally active compounds isolated from garlic.

Contents

1. Introduction

Historically, garlic has been revered as part of a healthful diet. The earliest known references indicate that garlic formed part of the daily diet of many Egyptians (Block, 1985). It was fed particularly to the working class involved in heavy labor, as in the building of the pyramids (El-Bayoumy et al., 2006). Indeed, a recurring theme throughout early history is that garlic was given to the laboring classes, presumably to maintain and increase their strength, thereby enabling them to work harder and be more productive (Rivlin, 2001). Ancient medical texts from Egypt, Greece, Rome, China, and India prescribed garlic for a number of applications including improving performance, reducing infections, and protection against toxins (Rivlin, 2006). These medicinal properties, coupled with its savory characteristics, have made garlic a true cultural icon in many parts of the world. Thus, garlic is used traditionally as a flavor enhancer and has been recognized as not only a common food additive but also a potent therapeutic agent.

The whole bulbs of garlic contain alliin, γ-glutamyl-S-allylcysteine, S-methylcysteine sulfoxide, S-trans-1-propenylcysteine sulfoxide, S-2-carboxypropylglutathione and S-allylcysteine (Amagase, 2006;

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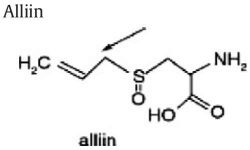
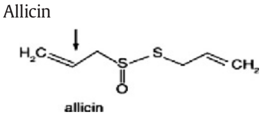
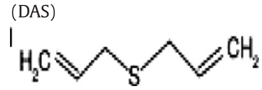
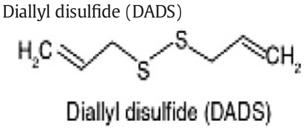
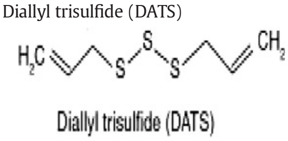
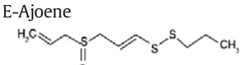
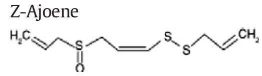
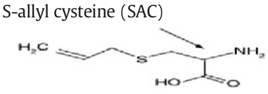
Kimbaris et al., 2006). Recently, a novel organosulfur compound, thiacremonone (2,4-dihydroxy-2,5-dimethyl-thiophene-3-one) was isolated and identified from heated garlic (Ban et al., 2007). Chemical composition of the preparations obtained by extraction of garlic fractions depends on the extraction conditions: temperature, time and solvent's polarity. The content of organosulfur compounds in garlic bulbs changes during cultivation and storage (Lawson et al., 1991). Its biological activities depend on many factors, including country of origin and various processing methods of garlic to isolate new organosulfur compounds and to decompose organosulfur compounds. Therefore, the development of methods for determination of organosulfur compounds in garlic and selecting garlic is apparently great importance for evaluating the biological quality of garlic and garlic products.

Organosulfur compounds have been attributed to the medicinal properties and health benefits of garlic. Several recent studies have shown that these organosulfur compounds have anti-cancer, anti-cardiovascular disease, anti-neurological disease, and anti-liver disease effects, as well as effects for prevention of allergy and arthritis (Amagase et al., 2001; Amagase, 2006). While these effects are well-known, the exact mechanisms of action have not yet been established. In the present paper, the aim of this study is to review data from a variety of experimental and clinical reports and describe the effectiveness and possible mechanisms of action on how garlic showed the medical properties.

2. Components of garlic

Among the several functional compounds of garlic (Table 1), alliin is the most abundant organosulfur compound in whole garlic. It is a derivative of the amino acid cysteine. Lawson (1998) found that fresh garlic contains alliin (6–14 mg/g). 25.65–30.03 mg/g alliin was detected in Korean garlic cloves and 6.7 mg/g in Korean garlic bulbs (Yoo et al., 2010). 16.7–21.4 mg/g alliin was also detected in dried garlic cloves and 5.3–9.4 mg/g in fresh Germany garlic cloves (*Allium sativum* L. var.

Table 1
Chemical structure and quantity of some volatile organosulfur compounds present in garlic.

Sulfur components	Contents (mg/g)	Origin of garlic	Isolation solution	References
Alliin	25–30	Korean garlic cloves	Water	Yoo et al., 2010
	6.7	Korean garlic bulbs	Water	Yoo et al., 2010
	16.7–21.4	Germany dried garlic	Water	Bloem et al., 2011
	5.3–9.4	Germany fresh garlic	Water	Bloem et al., 2011
	22.1	Japanese garlic	Water	Shimpo et al., 2002
(MW: 62.28)				
Allicin	2.3–4.6	Korean garlic	Water	Yoo et al., 2010
	7.7	Australian garlic	Water	Sterling & Eagling, 2001
	6.1–7.7	USA garlic	Water	Koch & Lawson, 1996
	2.4–3.5	Switzerland garlic	Water	Ziegler & Sticher, 1989
	5.1–6.6	Chinese garlic	Water	Lawson et al., 1991
(MW: 162.27)	5.0–5.3	Japanese garlic	Water	Ueda et al., 1991
Diallyl sulfide	0.01–0.02	Greek garlic	Diethyl ether	Kimbaris et al., 2006
(DAS)	0.00–0.02	Greek garlic	Ethyl acetate	Kimbaris et al., 2006
	0.00–0.02	Greek garlic	Hexane	Kimbaris et al., 2006
	0.02–0.23	Korean garlic	Dichloromethane	Lee et al., 2003
(MW: 114.2)				
Diallyl disulfide (DADS)	0.08–0.28	Greek garlic	Diethyl ether	Kimbaris et al., 2006
	0.06–0.231	Greek garlic	Ethyl acetate	Kimbaris et al., 2006
	0.07–0.26	Greek garlic	Hexane	Kimbaris et al., 2006
	0.57–0.89	Korean garlic	Dichloromethane	Lee et al., 2003
(MW: 146.28)				
Diallyl trisulfide (DATS)	0.00–0.20	Greek garlic	Diethyl ether	Kimbaris et al., 2006
	0.01–0.22	Greek garlic	Ethyl acetate	Kimbaris et al., 2006
	0.01–0.18	Greek garlic	Hexane	Kimbaris et al., 2006
	0.11–0.39	Korean garlic	Dichloromethane	Lee et al., 2003
(MW: 178.34)				
E-Ajoene	0.17	Japanese garlic	Soybean oil	Naznin et al., 2008
	0.17	Japanese garlic	Rice oil	Naznin et al., 2008
	0.12	Japanese garlic	Soybean oil	Naznin et al., 2008
	0.47	Japanese garlic	Rice oil	Naznin et al., 2008
Z-Ajoene				
				
(MW: 234.4)				
S-allyl cysteine (SAC)	0.45	USA garlic	Water	Amagase & Milner, 1993
	0.36–0.60	Korean garlic	Water	Yoo et al., 2010
(MW: 161.22)				
Thiacremonone	0.7 (μg/g)	Korean garlic	Ethyl acetate	Hwang et al., 2007
(MW: 160.19)