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BIOACTIVE SUBSTANCES OF GARLIC AND THEIR ROLE IN DIETOPROPHYLAXIS AND DIETOTHERAPY

SUBSTANCJE BIOAKTYWNE CZOSNKU I ICH ROLA W DIETOPROFILAKTYCE I DIETOTERAPII

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This work has aimed to characterise the most important bioactive substances of garlic, its preparations and describe in detail the role of garlic in dietoprophylaxis and dietotherapy.

Key words: garlic, bioactive substances, dietotherapy, dietoprophylaxis

Słowa kluczowe: czosnek, substancje biologicznie aktywne, dietoterapia, dietoprofilaktyka

Garlic (*Allium sativum*) originating from Middle Asia belongs to the group of plants of nutritious, medicinal and pro-health activity. Garlic health benefits result from the content of over 200 biologically active substances. Fresh garlic bulbs contain about 60 % of water, 32 % of carbohydrates and 6,5 % of fiber. Vitamin C is present in the greatest amount (about 31 mg in 100 g of product). Garlic also supplies vitamins from A, B1, B2 and PP group. Among mineral ingredients, potassium is present in the highest concentration, whilst iron, magnesium and phosphorus in a slightly lower concentration. Garlic contains considerable amount of biologically active substances. Allicin, the by - product of disintegration of biologically inactive alliin, belongs to the most important biologically active substances of characteristic odour. Alliin is allylcysteine sulfoxide, crystalline, colourless, odourless and without antibiotic properties, but it is present in whole, unbruised garlic cloves [11, 13].

During crushing, mechanical damage of garlic bulb, the enzyme alliinase (lyase) is produced. Under its influence, alliin is disintegrated into allicin – an unstable product of strong garlic odour and pyruvic acid and ammonia. Allicin has bactericidal properties in relation to Gram bacteria - positive and Gram - negative, and stimulates appetite. Moreover, it is believed to have antiparasitic, stabilizing intestinal flora and hypotensive activity. Concurrently, it may have irritating influence on skin and air passages – as a volatile compound it may be used as a disinfectant in air passages. It has been proved to inhibit blood platelet aggregation and

lower the level of triacylglycerols in blood serum. It is the ingredient of numerous manufactured preparations. Synthetic preparations based on allicin model are used as antifungal means. Allicin in the presence of cysteine combines with it to create S-allylmercaptocysteine (SAMC), which is present in the extract of aged garlic.

Due to great instability, allicin disintegrates into among others: ajoene, vinylthiophene, allixin, DAS (diallyl sulfide), DADS (diallyl disulfide), DAT (diallyl trisulfide) [11, 13, 1]. These compounds, apart from vinylthiophene, have proven antimutagenic properties [4, 1]. Vinylthiophene has distinct antibacterial and antiplatelet aggregation properties [13].

Another biologically active garlic compound, which does not come from alliin conversions is S-allylcysteine (SAC) [17]. SAC is also characterised by advantageous influence on human health.

Various commercially processed forms of garlic are available on the market. Each of them is characterised by slightly different chemical content as far as bioactive sulphuric compounds are concerned, namely:

- Garlic oil,
- Garlic oil macerate,
- Powder garlic,
- Aged garlic extract.

Almost none of the above mentioned market products contains allicin. It is due to its great instability. Moreover, only aged garlic extract has been well examined as far as health safety is concerned and contains both water and fat soluble fractions of bioactive compounds [1]. Aged garlic extract (AGE) is an odourless product formed as a result of prolonged extraction of free garlic in a room temperature. It has high bio-availability and biological activity *in vitro* both for animals and people [14]. AGE additionally contains allixin, selenium, *N*-(1-deoxy-D-fructos-1-yl)-L-arginine (Fru-Arg) and *N*-fructose glutamine, which are not present in fresh garlic or garlic after thermal treatment.

Sulphuric compounds are the most widely described group of bioactive substances contained in a garlic bulb, because they are responsible for its characteristic odour and flavour. *Yoo and Pike* [18] proved that in comparison with other plants belonging to the same group as garlic, e.g. onion or leek, garlic contains about 5 times more of sulphuric compounds - garlic 11,75 mg/g of fresh mass, onion 2,27 mg/g, and leek 2,48 mg/g.

Lutomski [13] points out a significant importance of vitamin B₁, which combines with biologically active allicin leading to the increase of this vitamin re-absorption in human body.

The role of garlic in disease prevention

Garlic is characterised by complex and multidirectional pharmacological activity. Contemporary medical research proves medicinal activity of biologically active garlic ingredients in blood vessel calcinosis prevention, stimulating digestive enzymes, re-regulation of intestinal bacterial flora content, lowering blood pressure, ability to slow down aging processes as well as apoptosis of tumour cells. *Niedworok* [15] presents the importance of garlic in the therapy of numerous illnesses, stating that garlic:

- inhibits adenosine deaminase, the enzyme disintegrating adenosine. Proper concentration of adenosine conditions re-building of body energetic compounds (ATP), adenosine decreases cardiac muscle overload improving blood flow through coronary vessels,

- increases glutathione peroxidase activity, which leads to the decrease in the activity of anion radical superoxide (O₂⁻) - and hydroxide, causing peroxidation of cell membrane lipids and unsaturated fatty acids,
- decreases adherence of incited granulocytes to endothelium of blood vessels and blood platelet aggregation,
- increases fibrinolytic activity of plasma,
- lowers cholesterol and its fractions concentration without considerable influence on LDL/HDL plasma factor, as well as triglycerol and phospholipid concentration in blood serum,
- has wide antibacterial activity, antifungal and antiviral activity,
- regulates intestinal bacterial flora content,
- regulates and improves humoral and cell rates of immune system, which improves body defence mechanisms (especially important for people over 60).

In vitro research conducted by Lau [12] showed that garlic ingredients such as: SAC (S-allylcysteine), N-Ac-SAC (N-acetylo-S-allylcysteine), alliin and SAMC (S-allylmercaptocysteine), considerably inhibit, on different levels (SAC 10 mmol/L, alliin 1 mmol/L, SAMC 0,1-1 mmol/L), reactive substances oxidising LDL-cholesterol. Anti-atherosclerotic garlic activity is seen in inhibiting HMG-CoA reductase (allicin, ajoene, diallyl disulfide) and fatty acid synthesis. Pre-clinical research of the Physiology Institute of Benjamin Franklin University in 1999 showed that the use of standardised preparations containing garlic protects against hypercholesterolemia induced by the diet. Almost 2 thousand people were given standardised preparation based on powder garlic, in recommended portion of 3x300 mg daily. Total cholesterol level in serum decreased by 10 %, LDL-cholesterol by 4 % and triglycerides by 12 %, whilst HDL-cholesterol level increased by 8 %. In some patients in vessel research, the reversion of arteriosclerosis changes was observed [16]. The same process of oxidation inhibition and LDL-cholesterol fraction modification through aged garlic is also described by Borek [6]. Similar research was conducted by Durak *et al.*, [8]. 23 volunteers aged 24 to 68 with increased cholesterol level (5.98 mmol/L) were examined. Persons participating in the experiment were given garlic supplement in the form of dry extract – about 10 g a day for 4 months. After this time, the examination checking among others the level of general cholesterol, LDL, HDL, triglycerides and VLDL was carried out. HDL level significantly increased, whilst the value of the remaining lipoproteins and triglycerides decreased. General cholesterol level decreased. Because of such garlic properties, disadvantageous changes in the circulatory system, i.e. increased amount of oxidised LDL, cholesterol accumulation in macrophages and decreasing the flexibility of blood vessel walls did not take place. Gorinstein *et al.* [9] in *in vivo* research (lasting 30 days) on Wistar rats and *in vitro*, described a significant decrease in blood serum after supplying the diet with garlic supplement in relation to the diet without the supplement. Fresh garlic, cooked garlic and water extracts of these two garlic forms were used as garlic supplement. Moreover, a significant growth in antioxidant activity of blood serum ($P < 0,05$) in experimental group of rats on cholesterol-free diet with garlic supplement in relation to the group of rats on cholesterol-free was noted.

Numerous research present evidence on garlic antitumour activity. Borek [6] in his report widely describes antioxidant and antitumour activity of garlic. Aged garlic extract (AGE) inhibits early and late cancerogenesis phase in various tissues, e.g.: skin, stomach, or colon. AGE influence is complex due to the variety of bioactive substances. Each of them plays a different role in the body protection against tumour changes. SAC stops the creation of DNA adducts,

selen has a similar influence. *Ball* [4] describes the experiment in which garlic was additionally enriched with selen. It turned out that in contrast with normal garlic extract, water extract of garlic on culture medium enriched with selen, successfully inhibited the lactiferous gland cancer in rats, induced with carcinogen - methylnitrosourea.

At present, attention is paid to a strong antibacterial, antifungal and antiviral activity of garlic with concurrent incitement of body immunity. Both bactericidal and bacteriostatic properties in relation to Gram (+) and Gram (-) bacteria, such as staphylococcus, streptococcus, neterobacteriaceae, also from durum group as well as the inhibition of putrefactive bacteria growth were observed [15].

Bakri and *Douglas* [3] leading research on the antibacterial and antifungal properties of water extract of garlic specified minimal portions inhibiting the growth of selected microorganisms. During the research, 57,1 % water extract of garlic containing 220 µg/mL of allicin inhibited and killed most microorganisms. Minimal inhibiting concentration (MIC) of garlic for Gram bacteria (-) amounted to 35,7-1,1 mg/mL, and for Gram bacteria (+) was slightly higher and remained within the range 142,7- 35,7 mg/mL. MIC for *Candida albicans* equalled 8,9 mg/mL. The research showed that Gram bacteria (-) are more sensitive to garlic influence than Gram bacteria (+). *Benkeblia* [5] examining sensitivity of *Staphylococcus aureus* on garlic oil activity observed weak strength of the bacteria growth inhibition at 50 and 100 mL/L concentration - respectively 6,3±0,4 and 7,6±0,8 mm. At 500 mL/L concentration the growth inhibition amounted to 9,3±0,2 mm, which proves good antibacterial activity.

Ankri and *Mirelman* [2] described inhibiting influence of allicin on selected strains: *Candida albicans*, *Escherichia coli*, *Pseudomonas areuginosa* and *Staphylococcus aureus*. LD₅₀ µg/mL, that is a single dose, whose intake caused death of 50 % of microorganisms in the group was established. LD₅₀ for *Staphylococcus aureus* amounted to 12 µg/mL, whilst for *Escherichia coli* to 15 µg/mL.

Cutler and *Wilson* [7], similarly to *Ankri* and *Mirelman* [2], examined the influence of pure allicin on the growth of *Staphylococcus aureus*. The research was conducted on allicin in liquid and cream form. Allicin showed inhibiting activity of the growth of this strain to 62,5 µg/mL concentration, below which allicin did not show bactericidal properties. Liquid allicilin was twice stronger than the one in cream form. MIC for *Staphylococcus aureus* totalling 32 µg/mL was established, but according to the authors most of these bacteria have MIC equaling 16 µg/mL.

The research conducted in the Department of Functional Foods and Commodity showed that the aging garlic extract was characterised by the strongest antioxidant properties and activity inhibiting the growth of Gram(+) microorganisms *Staphylococcus aureus* and fungi *Candida albicans*. Antioxidant activity of this product was considerably higher than lypholysed and fresh garlic. Fresh garlic showed the widest, but moderate bactericidal and antifungal activity. Garlic after short-term thermal treatment (frying) lost its bactericidal properties, maintaining weak antifungal and lower antioxidant properties [10].

Preparations and diet supplements containing garlic

Wide interest in garlic as a natural pharmaceutical preparation, both from customers and pharmaceutical companies, resulted in producing a series of medicines and diet supplements containing different forms of garlic. At present, a variety of preparations containing garlic or its extracts is available on the market, among others:

- capsules containing 270 mg of garlic oil macerate (1:1), resistant to gastric juice, recommended in blood vessel atherosclerosis,
- coated tablets containing 5 mg of garlic oil,
- capsules with 186 mg of garlic oil and 64 mg of extract from wheat germs and St John's wort,
- tablets containing dried garlic in the amount of 0,2 g in a tablet and nettle leaves,
- pearls with oil extract from garlic in relation 1:1, dosage 1-2 pearls daily,
- capsules containing odourless garlic extract (2 mg) and soya oil, gelatin, glicerol,
- capsules with odourless garlic in powder form and cod oil and A, D, E vitamins,
- tablets with standardised garlic extract (powder) 300 mg in a tablet, 1800 µg allicin, odourless, one tablet daily.

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Summary

Garlic is characterised by medicinal properties due to the content of over 2000 biologically active substances. Numerous commercially processed garlic forms, which differ in the content of bioactive compounds, especially sulphuric, are available on the market. The knowledge of the types of bioactive substances present in garlic and its products, their changes during treatment and pro-health influence is of crucial importance to the diet supplement producers, doctors, pharmacists and consumers. Therefore, this work has aimed to characterise the most important bioactive substances of garlic, its preparations and describe in detail the role of garlic in dietoprophylaxis and dietotherapy.

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Streszczenie

Czosnek, poza walorami odżywczymi i smakowymi, posiada właściwości lecznicze dzięki zawartości ponad 200 biologicznie aktywnych substancji. Na rynku dostępne są różne, przemysłowo przetworzone formy czosnku, które różnią się zawartością bioaktywnych związków, zwłaszcza siarkowych. Znajomość rodzajów substancji bioaktywnych, występujących w czosnku i jego przetworach, ich przemian w procesie przetwarzania oraz oddziaływania prozdrowotnego jest niezmiernie ważne dla producentów preparatów, lekarzy, farmaceutów oraz konsumentów. Dlatego też, w niniejszej pracy scharakteryzowano najważniejsze substancje bioaktywne czosnku, preparaty z jego udziałem oraz szczegółowo omówiono rolę czosnku w prewencji i leczeniu chorób.

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