ANTIMICROBIAL ACTIVITY OF ALLYL THIOSULFINATE (ALLICIN), ITS INCLUSION COMPLEX WITH β-CYCLODEXTRIN AND AJOENES AND VINYLDITHIINS DERIVATES

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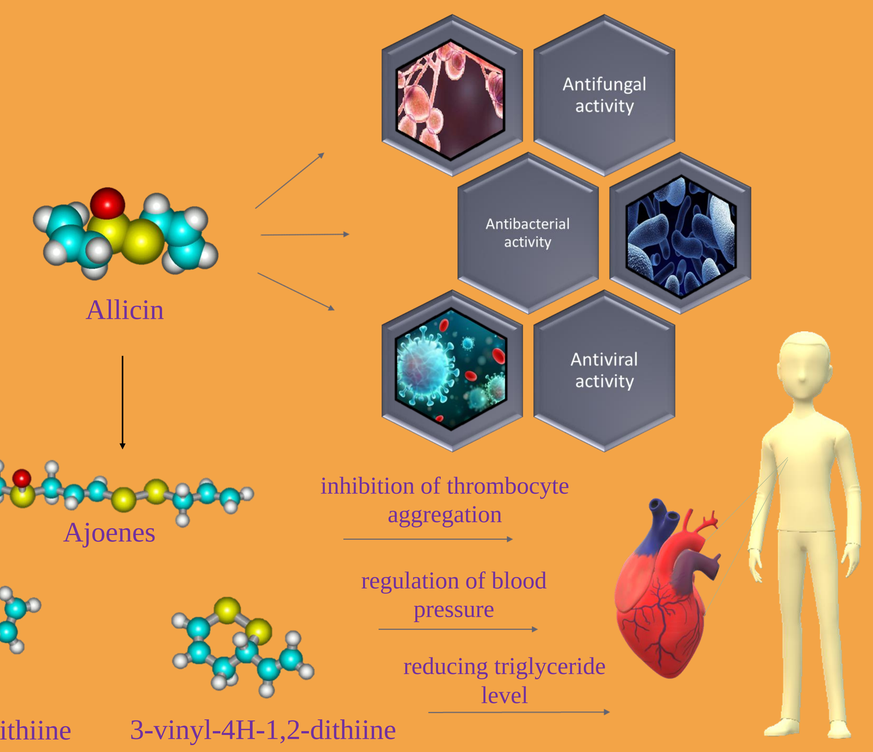
Introduction

The main carriers of the pharmacological activity of garlic (*Allium sativum* L.) are organosulfur compounds. The most important among them is allyl thiosulfinate (allicin), which is very unstable. Allicin is produced upon tissue damage, as a secondary metabolite from alliin in a reaction catalyzed by the enzyme alliinase. Allicin has antibacterial, antifungal and antiviral activity. The most important pharmacologically active allicin derivatives are ajoenes and vinyldithiins. They take part in the inhibition of thrombocyte aggregation, regulation of blood pressure, and reducing triglyceride and phospholipid levels.

The aim of this work was to examine and compare the antimicrobial activity of allicin, its derivatives ajoenes and vinyldithiins, as well as its inclusion complex with β-cyclodextrin, in the moment of synthesis and after two months.

Metodology

The synthesis of allicin was performed by oxidation of allyl disulfide using acidic hydrogen peroxide at +4 °C for 4 h. The reaction mixture was neutralized while cooling and obtained allicin was isolated by extraction using diethyl ether. The inclusion complex was prepared by mixing the allicin and β-cyclodextrin in a molar ratio of 1:1 at 10 °C, using kneading method. Ajoenes and vinyldithiins were synthesized from allicin using acetone (80 °C for 5 h) and *n*-hexane (45 °C for 90 minutes), respectively. The antimicrobial activity of allicin, inclusion complex, ajoenes and vinyldithiins was tested using disc diffusion assay (30 µl, 5 % solution) in different time intervals (0, 8, 23, 40, 52 and 60 days). The following microorganisms were used: *Staphylococcus aureus*, *Escherichia coli*, *Pseudomonas aeruginosa*, *Candida albicans* and *Aspergillus niger*. The *n*-hexane and acetone were used as negative controls.



Results

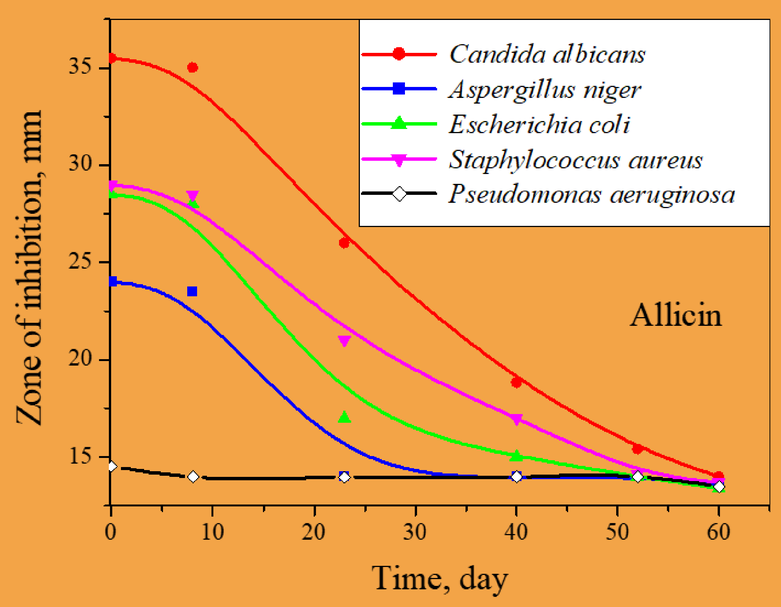


Figure 1. Antimicrobial activity of allicin in different time periods after synthesis

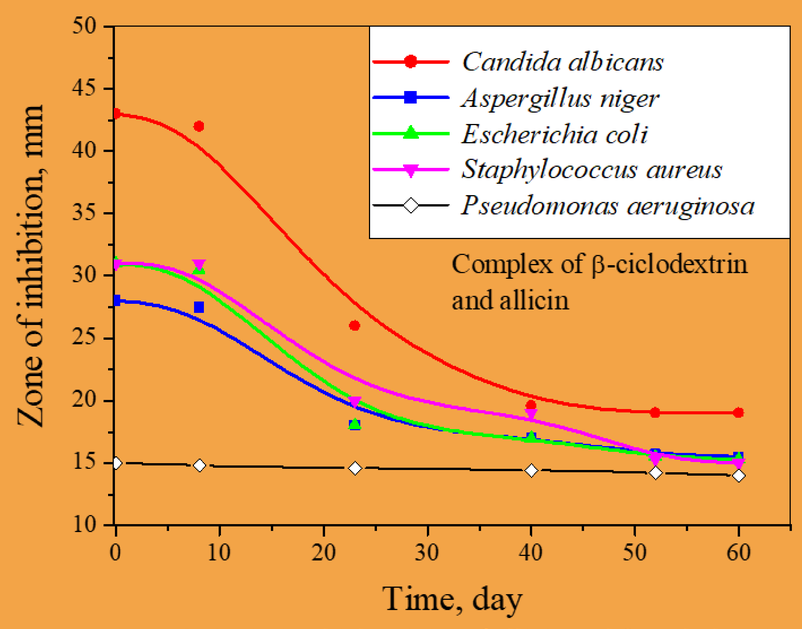
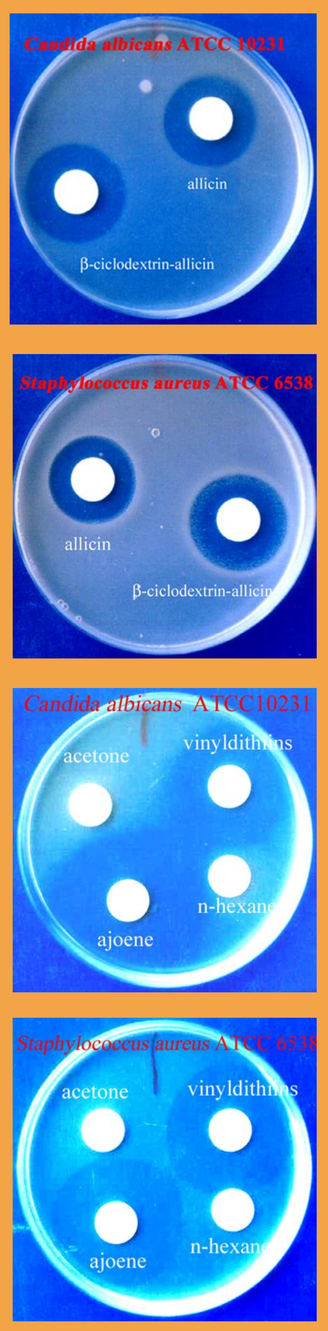
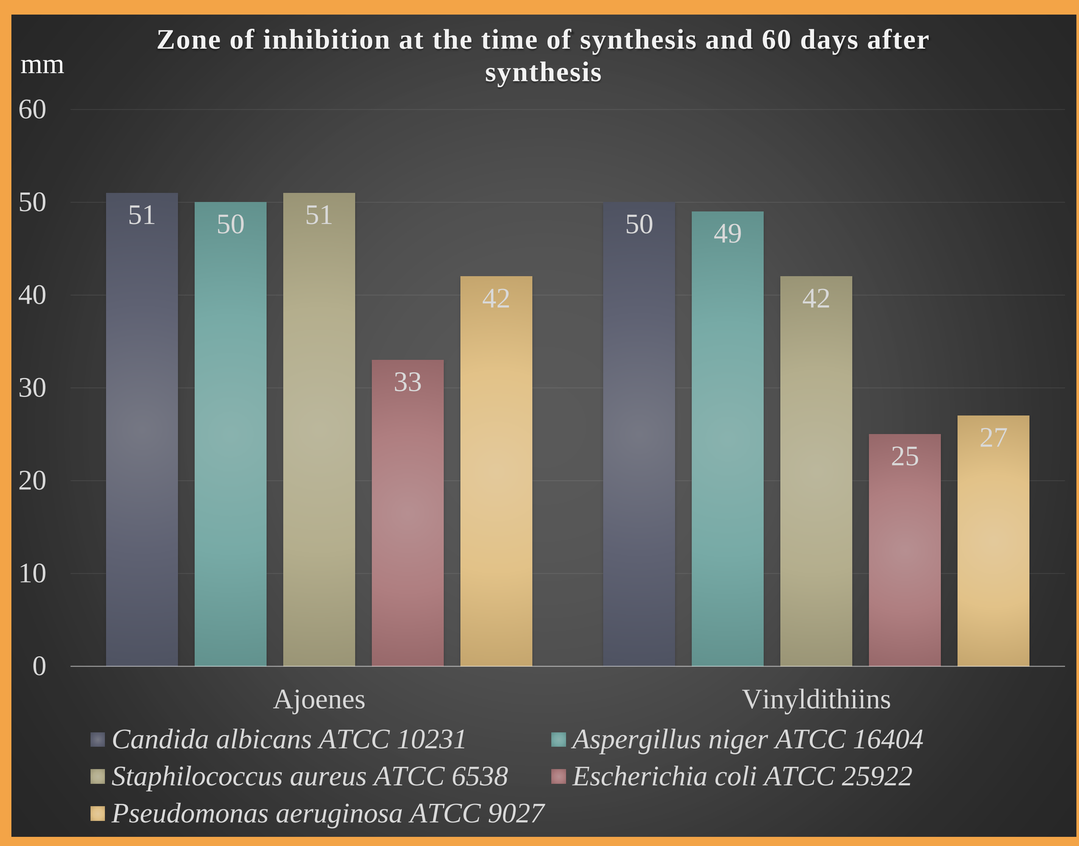


Figure 2. Antimicrobial activity of allicin and β-cyclodextrin complex in different time periods after synthesis





The results show that antimicrobial activity was reduced in the following order: ajoenes, vinyldithiins, inclusion complex, allicin. Inhibition zones for ajoenes and vinyldithiins remained unchanged after two months, while allicin antimicrobial activity declined rapidly with time. The allicin activity and stability are increased by its incorporation in the inclusion complex.

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