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BIOLOGICAL SIGNIFICANCE OF 1,2,3-TRIAZOLES

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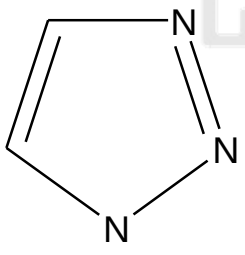
Abstract: This thesis examines the biological activity of triazole compounds, with particular emphasis on the physicochemical properties of 1,2,3-triazole and its significance in pharmaceutical drugs. The study analyzes the effects of 1,2,3-triazole derivatives on various biological processes, including their antibacterial and antifungal properties. In addition, the impact of these compounds on human health is discussed from a scientific perspective. The results indicate that triazole derivatives play an important role in modern medicine and represent a promising direction for the development of new pharmaceutical agents.

Keywords: Heterocyclic compounds, 1,2,3-triazole, tazobactam, n-benzene, ENT, pyrazole.

Triazoles are among the most important representatives of heterocyclic compounds. They possess the molecular formula $C_2H_3N_3$ and exist in two isomeric forms: 1,2,3-triazole and 1,2,4-triazole. Numerous pharmaceutical agents containing the triazole moiety have been developed, while many other biologically active triazole-based compounds are currently undergoing clinical evaluation. The demand for novel triazole-containing drugs is expected to increase in the near future due to their broad therapeutic potential.

The successful application of triazole derivatives in medicinal chemistry has attracted considerable scientific interest, leading to extensive investigations of their diverse biological activities. Pharmacologically active compounds containing the 1,2,3-triazole ring exhibit a wide range of biological properties, including anticancer, antimicrobial, antitubercular, antiviral, antidiabetic, antimalarial, and antileishmanial activities. Therefore, 1,2,3-triazole derivatives are regarded as promising scaffolds for the development of new therapeutic agents.



1,2,3-triazole

The therapeutic potential of 1,2,3-triazole derivatives as biologically active agents for various diseases and biological targets has attracted significant scientific interest. Researchers have extensively investigated the diverse biological activities of these compounds and revealed their potential as promising drug candidates. Numerous studies have reported the development of

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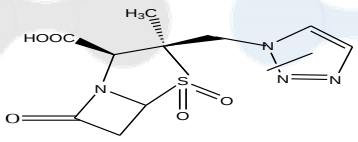
VOLUME-6, ISSUE-6

biologically active substances based on 1,2,3-triazole derivatives, some of which are currently being produced and used in clinical practice.
One notable example is tazobactam, a pharmaceutical agent derived from triazole-containing structures. Tazobactam is approved for medical use in the United States and is commonly administered in combination with β-lactam antibiotics such as penicillins, ampicillin, and amoxicillin, as well as with sulbactam-containing antibacterial therapies. It functions as a β-lactamase inhibitor, enhancing the effectiveness of antibiotics against resistant bacterial strains and improving therapeutic outcomes in the treatment of bacterial infections.



Tazabaktam - 2S,3R)-3-metil-7-okso-3-(1H-1,2,3-triazol-1-ilmetil) -4-tia-1-azabitsiklo[3.2.0]geptan-2-karboksilik kislota 4,4-dioksid

Tazobactam is used in combination with other antibiotics for the treatment of various bacterial infections. To preserve its clinical effectiveness, it should be administered only for infections caused by susceptible microorganisms. Combinations containing tazobactam are indicated for the treatment of upper and lower respiratory tract infections, inflammatory diseases of the ear, nose, and throat (ENT organs), intra-abdominal infections, infections occurring in immunocompromised patients, and for the prevention of postoperative infectious complications.

Studies have demonstrated that this compound is a potent β-lactamase inhibitor, exhibiting greater activity than both clavulanic acid and sulbactam. The high efficacy of tazobactam has been attributed, in part, to the presence of the triazole ring, which plays a significant role in its biological activity.

Research conducted by Huda Ahmed Hassan at University of Baghdad reported the synthesis of five-membered azole heterocyclic derivatives through three different synthetic approaches. In the first reaction, N-benzoic acid 1,2,3-triazole derivatives were synthesized by treating the diazotized salt of methyl 2-aminobenzoate with sodium azide and ethyl acetoacetate. According to the second synthetic route, pyrazole derivatives and pyrazolin-5-one compounds were obtained through the reaction of the diazonium salt with several active methylene compounds, followed by further reaction with hydrazine hydrate to produce the corresponding hydrazone derivatives. The third reaction enabled the synthesis of three triazolidinone derivatives through two different synthetic pathways, demonstrating the versatility of these heterocyclic systems in medicinal chemistry and organic synthesis.

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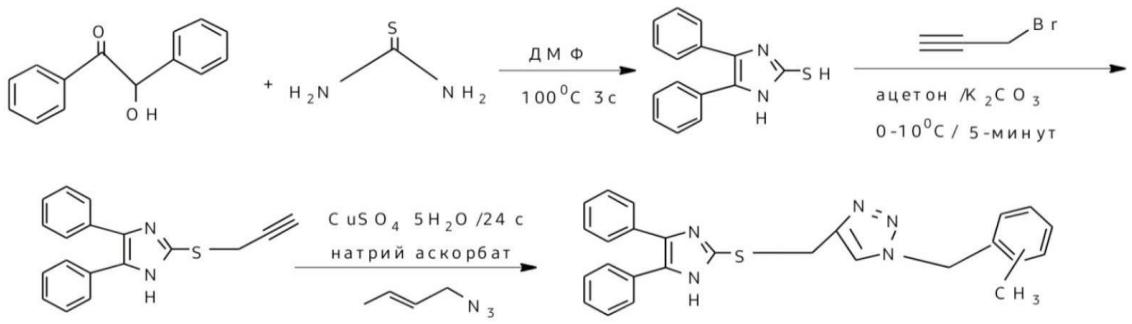
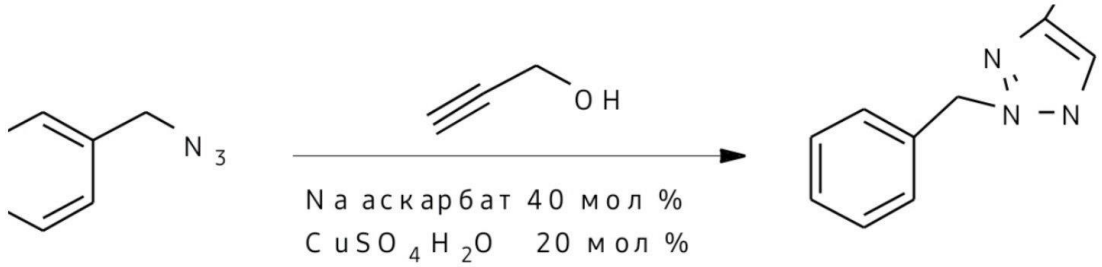


French researchers synthesized a series of triazole derivatives containing three nitrogen atoms, including benzyl-substituted triazole compounds. These derivatives were obtained through the reaction of benzyl azide with propargyl alcohol, resulting in the formation of the corresponding 1,2,3-triazole derivatives.

A notable aspect of this synthesis was the use of sodium ascorbate and copper(II) sulfate pentahydrate as catalytic agents. The reaction was carried out in a mixed solvent system consisting of dichloromethane and water (1:1, v/v) and heated for 24 hours. Under these conditions, the researchers successfully synthesized the desired triazole derivatives with high yields, demonstrating the efficiency of the copper-catalyzed azide–alkyne cycloaddition (CuAAC) approach for the preparation of biologically relevant triazole-containing compounds.



Kim and co-workers investigated the antitubercular activity of several 1H-1,2,3-triazole derivatives structurally related to econazole and miconazole, compounds that had previously demonstrated efficacy against multidrug-resistant tuberculosis (MDR-TB) and exhibited activity against the Mycobacterium tuberculosis enzyme CYP130.

Among the synthesized compounds, two derivatives were identified as particularly promising because they displayed higher antitubercular activity than econazole, while another derivative exhibited activity comparable to that of econazole. These findings suggest that the imidazole moiety

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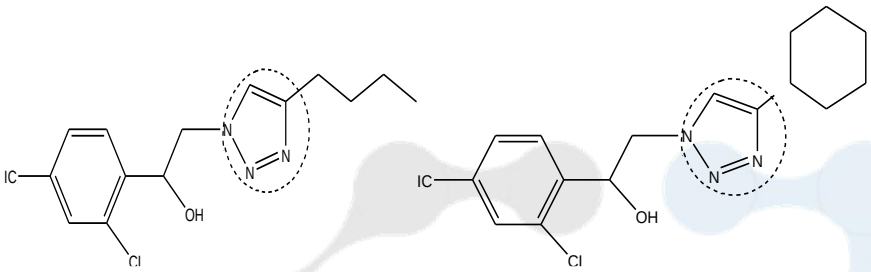
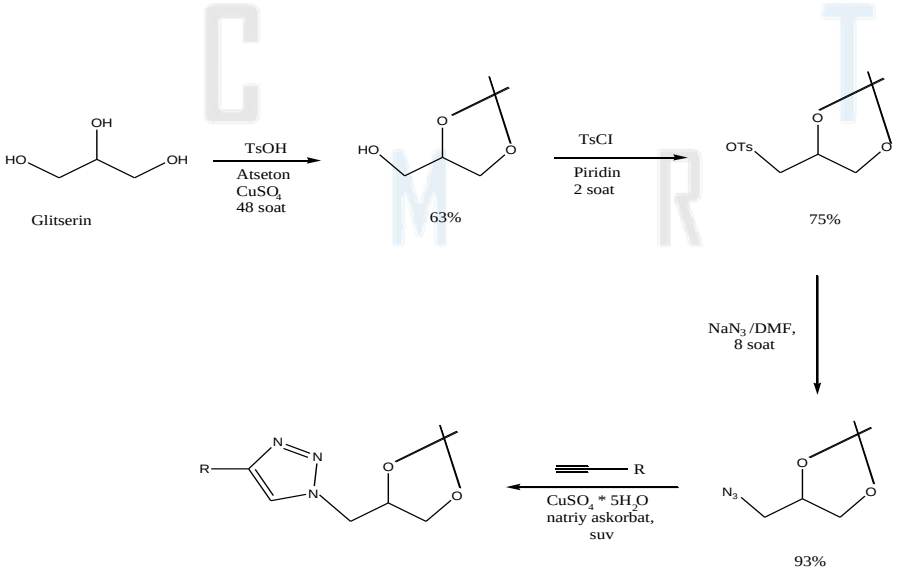
of econazole can be successfully replaced with a 1H-1,2,3-triazole nucleus without compromising antitubercular efficacy. The study highlights the potential of 1,2,3-triazole-based compounds as valuable scaffolds for the development of new therapeutic agents against drug-resistant strains of Mycobacterium tuberculosis



A series of 1,2,3-triazole derivatives were synthesized using glycerol as the starting material. The key step in the preparation of these compounds was the copper(I)-catalyzed azide–alkyne cycloaddition (CuAAC), commonly referred to as the "click reaction," between 4-(azidomethyl)-2,2-dimethyl-1,3-dioxolane (3) and various terminal alkynes. Eight synthesized derivatives were evaluated for their fungicidal, phytotoxic, and cytotoxic activities. The fungicidal activity was assessed in vitro against Colletotrichum gloeosporioides, the causal agent of papaya anthracnose. Among the tested compounds, 1-(1-((2,2-dimethyl-1,3-dioxolan-4-yl)methyl)-1H-1,2,3-triazol-4-yl)cyclohexanol (4g) and 2-(1-((2,2-dimethyl-1,3-dioxolan-4-yl)methyl)-1H-1,2,3-triazol-4-yl)propan-2-ol (4h) demonstrated superior efficacy in controlling C. gloeosporioides when compared with the commercial fungicide tebuconazole.

The synthesized triazoles exhibited no phytotoxic effects when tested against Lactuca sativa. However, five derivatives showed mitodepressive activity, inducing cell death characterized by the presence of condensed nuclei and acting as aneugenic agents in the cell cycle of L. sativa. These findings suggest that glycerol-derived compounds containing the 1,2,3-triazole moiety represent promising scaffolds for the development of novel agents for the control of C. gloeosporioides and warrant further investigation.



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