19. Exploring the Antimicrobial Properties of Glucosyl Thiocarbamide Nanoparticles

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Abstract

In recent times, there has been a notable rise in the field of nanotechnology, which centers on manipulating form and size at the nanoscale to design, characterize, manufacture, and utilize structures, devices, and systems. In this study, we investigated the antibacterial and antifungal properties of various substances against prevalent pathogens such as Escherichia coli, Proteus vulgaris, Staphylococcus aureus, Pseudomonas aeruginosa, Aspergillus niger, and Penicillium. While certain compounds demonstrated varying degrees of effectiveness against these microorganisms, others displayed resistance to their activity.

Keywords: TAG Isothiocyanate, Thiocarbamides, Nanoparticles and Antimicrobial Activities.

Introduction

Due to their versatile applications, carbohydrates and their derivatives have become indispensable to human existence. These substances have notably been tested against various illnesses and have gained significant medical importance as a result. Chemical compounds have been utilized for treating diseases since the 1500s, particularly in combating infectious diseases and conditions caused by malignant cell proliferation, which are collectively referred to as chemotherapeutic agents.

Antibacterial agents encompass any chemicals capable of inhibiting the growth or destroying microorganisms. While numerous compounds possess these attributes, the term is often reserved for chemicals exhibiting practical efficacy at sufficiently high concentrations. Antimicrobial agents span various categories based on their mechanisms of action and intended purposes, with classifications often dependent on the targeted microorganisms. For instance,

substances affecting bacteria are categorized as bacteriostatic or bactericidal, while those targeting fungi are termed fungistatic or fungicidal1.

The cup plate agar diffusion method represents a straightforward, convenient, and reliable testing approach, particularly suited for routine clinical bacteriology laboratories.

Our focus lies on synthesizing nitrogen-linked glucosyl compounds among the various types of carbohydrates due to their relevance in medicinal chemistry and numerous other fields2-6. Sugar isocyanates serve as versatile synthetic intermediates in carbohydrate chemistry and have garnered significant attention in both synthetic and medicinal chemistry realms7-8. Glycosides have been employed as divertic agents, analgesics, antidiabetic compounds, and in various other capacities9. Methyl β-lactosyl has demonstrated notable efficacy in reducing tumor colony formation in mice10, prompting efforts to enhance its effectiveness through the synthesis of multivalent β-lactosyl compounds in Roy's research group.

Additionally, heterocyclic derivatives of sugars have exhibited anti-tumor and anti-bacterial properties. Beyond their pharmaceutical applications, glycosyl urecides have also found utility in industries such as paper, textile, and food.

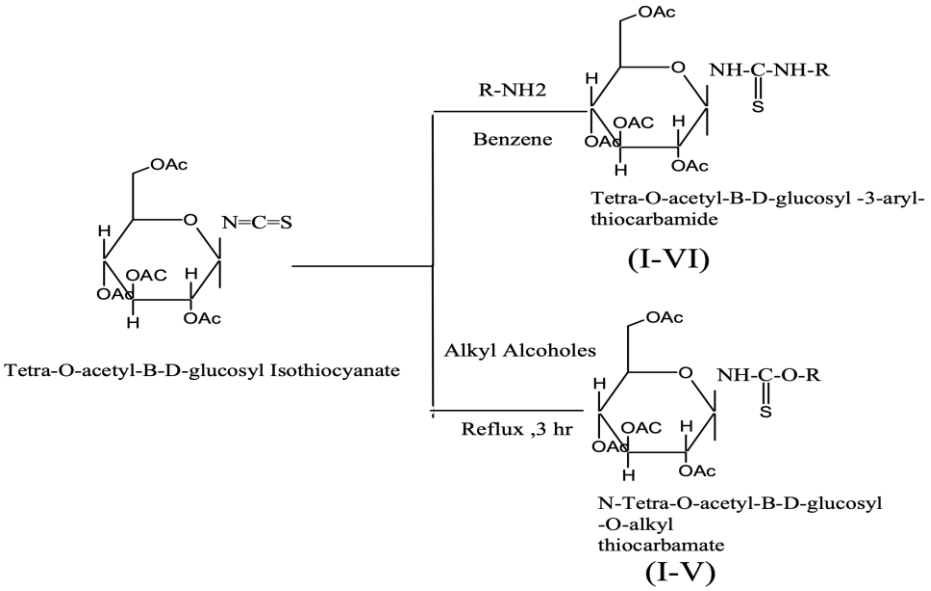
Nanoparticles, typically ranging from 1 to 100 nanometers in size, possess distinctive characteristics that distinguish them from their bulk counterparts. This minute scale imbues nanoparticles with unique properties, sparking considerable scientific interest. Their versatility extends across various domains, including electronics, medicine, environmental science, and energy11.

Their extensive usability stems from their outstanding characteristics, particularly their high ratio of surface area to volume. This attribute renders nanoparticles invaluable in a variety of fields. Especially within the realm of medical science, nanoparticles have become versatile and powerful resources. Their ability to improve disease diagnosis and treatment highlights their importance in enhancing patient outcomes.

Experimental

The research work presented deals with the study of antimicrobial activities of newly synthesized N-glucosides against pathogenic organisms. Screening of following compounds were carried out against the microbes like E. coli, P. vulgaris, S. aureus, P. aeruginosa, A. niger and Penicillium.

Reaction Scheme



Experiment No. 1:- synthesis of 1- tetra-O-acetyl-β-D-glucosyl-3-p-amino phenyl thiocarbamides

Benzene solution of 1-tetra-O-acetyl-□-D-glucopyranosyl isothiocyanate (0.005 M, 1.0 g in 20 ml) was added to benzene solution of 1,4 phenyl diamine (0.005 M, 0.35 g in 10 ml) and reaction mixture was kept under microwave irradiation.Afterwards, solvent benzene was removed by distillation and resultant syrupy mass was triturated several times with petroleum ether, a granular solid was obtained, crystallized from ethanol-water, m.p. 162-167°C.

The product was found soluble in ethanol, acetone, chloroform and benzene while insoluble in water and petroleum ether. It charred on heating with conc. sulphuric acid. It was found non-desulphurisable when boiled with alkaline plumbite solution. The product was optically active and its specific rotation was found to be [□]D28 = 125.20° (c, 0.96 in chloroform). The purity of the product was checked by TLC, Rf value 0.93 (CCl4 : EtOAc, 3:2).
Preparation of nanoparticles of 1- tetra-O-acetyl-β-D-glucosyl-3-p-amino phenyl thiocar bamides

Take about 1 gm of 1- tetra-O-acetyl-β-D-glucosyl-3-p-amino phenyl thiocarbamides and dissolve it completely in the 20ml of solvent in a 250 ml beaker and add poly vinyl alcohol as a stabilizer 1.5ml . Now put this beaker in a sonicator. The highly penetrating acoustic waves are passed through the mixture, which creates high-pressure bubbles in the beaker due to which breakdown of the bulk material took place and desired sized nanoparticles are formed. Then stirred mixture about 6hr. in magnetic stirrer at room temperature.

Antimicrobial Activity

These newly synthesized thiocarbamides were screened for their microbial activity against different pathogenic microbes for their antibacterial and antifungal activities using well method16. The compounds were screened for antibacterial activity against E. coli, P. vulgaris, S. aureus, P. aeruginosa, A. niger and Penicillium. In potato dextrose agar medium. Procedure for antimicrobial screening Media used (Nutrient broth): Peptone – 10 g, NaCl – 10 g and yeast extract 5 g, Agar 20 g in 1000 ml of distilled water. Initially, the stock culture of bacteria were revived by inoculating in broth media and grown at 37 0C for 18 h. The agar plates of the above media were prepared and wells were made in the plate. Each plate was inoculated with 18 h old culture (100 µL, 104 cfu) and spread evenly on the plate. After 20 min. the wells were filled with different concentrations of samples. The control wells were filled with Gentamycin. All the plates were incubated at 37 OC for 24 h and the diameter of inhibition zones were noted in mm. The activity was quantitatively assessed on the basis of inhibition zone

Table 1 : Antimicrobial activities of nanoparticles of 1-Tetra-O-acetyl- β -D-glucosyl-3-aryl thiocarbamides

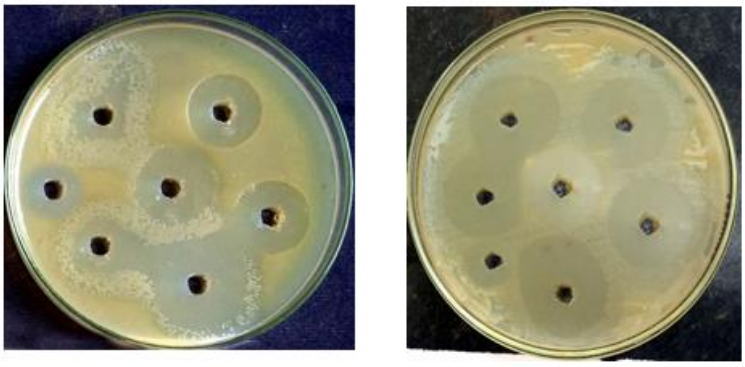
Compounds	*E.coli*	*P.vulgaris*	*S.aureus*	*P.aeruginosa*	*A.niger*	*Penicillium*
I-a	++++	++++	++++	++++	+++	++
I-b	+++	++++	++++	+++	++	--
I-c	+++	++++	++++	+++	+++	+++

N.B. : ++++ Strongly active (above 20 mm)
+++ Moderately active (15 mm to 20 mm)
++ Weakly active (8 mm – 14 mm)
- - Inactive (below 8 mm)
Bore size = 7 mm

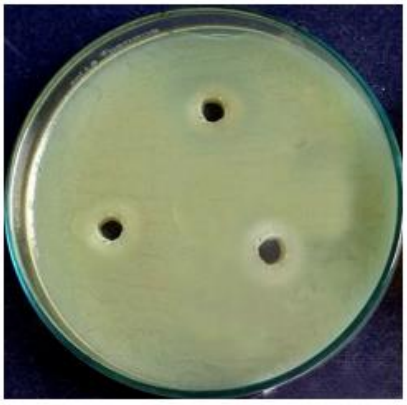
Table 2 : Antimicrobial activities of bulk material of 1-Tetra-O-acetyl- β -D-glucosyl-3-aryl thiocarbamides

Compounds	*E.coli*	*P. vulgaris*	*S.aureus*	*P.aeruginosa*	*A.niger*	*Penicillium*
I-a	+++	+++	+++	++	++	++
I-b	++	+++	+++	+	—	--
I-c	++	+++	+++	+++	++	+++

N.B. : ++++ Strongly active (above 20 mm)
+++ Moderately active (15 mm to 20 mm)
++ Weakly active (8 mm – 14 mm)
- - Inactive (below 8 mm)
Bore size = 7 mm



Antimicrobial Activities of Nanoparticles



Antimicrobial Activities of Bulk Material

The nanoparticles of 1-tetra-O-acetyl– β -D-glucosyl-3-aryl thiocarbamide showed comparable activity. Compounds 1,2,3 showed strong activity against P.vulgaris and S.aureus. Compounds 1-3 showed moderate activity against other microorganisms.

The bulk material of 1-tetra-O-acetyl– β -D-glucosyl-3-aryl thiocarbamide showed comparable activity. Compounds 1,2,3 showed moderate activity against P.vulgaris and S.aureus. Compounds 1-3 showed poor activity against other microorganisms.

Conclusion

Derivatives were synthesized and characterized for their structure elucidation. Various chemical and spectral data supported the structures. Some of the compounds synthesized showed promising antimicrobial activities. The newly synthesized nanoparticles of thiocarbamides

exhibits comparable antibacterial and antifungal activities against the organisms tested. The method adopted in this investigation is simple, efficient and inexpensive and is useful in synthesizing pharmacologically important molecules. The method adopted in the synthesis and investigation is simple, efficient and inexpensive in synthesizing pharmacologically important molecules. As such Nanoparticles shows promising antibacterial and antifungal activities as compared to bulk material. Hence Nanoparticles are more effective for medical purpose.

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