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Antimicrobial Investigation of Nanoparticle of Some Glucosyl Thiocarbamides, Thiocarbamates

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ABSTRACT
Recent years have seen an increase in the branch of current study known as nanotechnology. This discipline focuses on the design, characterization, manufacture, and use of structures, devices, and systems by manipulating form and size at the nanoscale scale. Here we screened for their antibacterial and antifungal activities against common pathogens like Escherichia coli, Proteus vulgaris, Staphylococcus aureus, Pseudomonas aeruginosa, Aspergillus niger and Penicillium. Some compounds exhibit less to good activity while some are resistant to the said micro-organisms.
Keywords: TAG Isothiocyanate , Thiocarbamides , Thiocarbamates Nanoparticles and Antimicrobial Activities.

I. INTRODUCTION

Because of all the ways they may be used, carbohydrates and their derivatives have become extremely important to human life. In particular, these substances have been effectively tested against a number of illnesses and have therefore earned medical significance.Chemical substances are used for treatment of diseases and has been known since the 1500's. The chemical substances used for the treatment of infectious diseases and diseases caused by the proliferation of malignant cell are called as chemotherapeutic agents.Antibacterial agents are any chemicals that prevent microorganisms from growing or that kill them. Despite the fact that many different compounds possess these qualities. The phrase is often limited to chemicals that are active at concentrations adequate for practical purposes when it is employed at sufficiently high concentrations. Antimicrobial agents can be divided into many categories based on how they work and the objectives they serve. Depending on the category of microorganisms impacted, division may be made. Hence, substances that affect bacteria are known as bacteriostatic or bacteriocidal, whereas substances that affect fungus are known as fungistatic or fungicidal[1].The cup plate agar diffusion method[2-3] provides a simple, convenient reliable test specially applicable in routine clinical bacteriology laboratory.
Carbohydrate represents an important chemical class as many drugs and drug intermediates[4] are based on carbohydrates chemistry and many drugs such as amino glycoside antibiotics containing carbohydrate structure. Carbohydrates are vastly diverse group of organic compounds occurring in all known plants, animal and microbial life. The function of carbohydrate is to provide energy and strength in plants and mammalian tissues

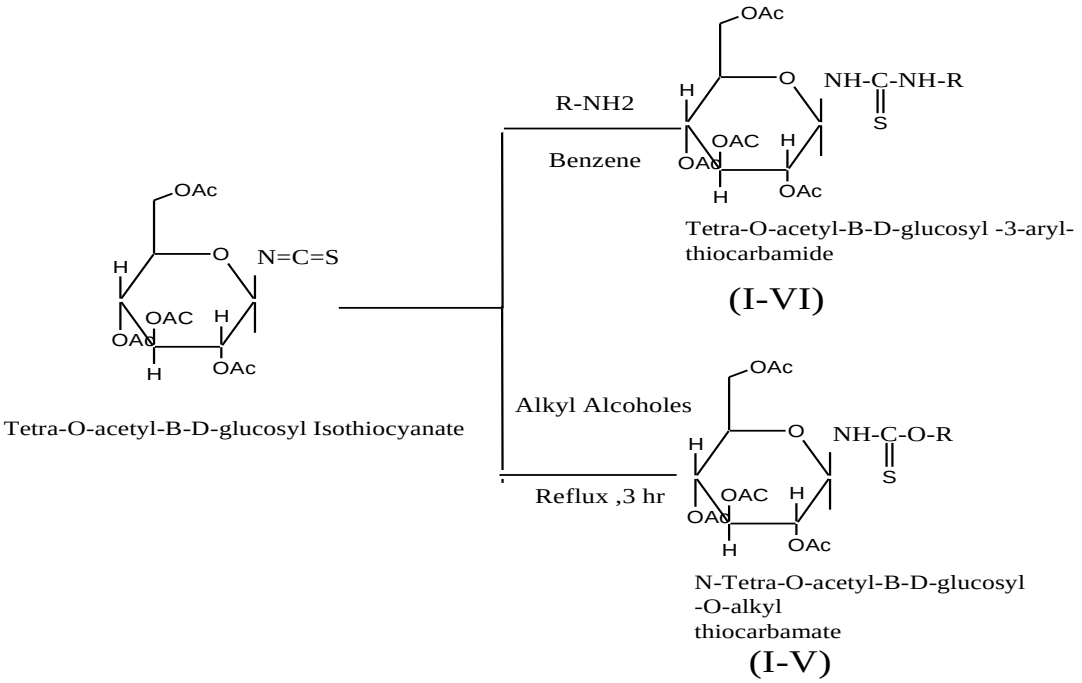
they provide a whole variety of specialized functions ranging from cell and organ differentiation to immune protection for new born babies.

Among all carbohydrates our interest is to synthesized nitrogen linked glucosyl compounds due to its applications in medicinal chemistry and in many other ways[5-6]. Sugar isocyanate are versatile synthetic intermediate in carbohydrate chemistry. They have attracted considerable interest in synthetic and medicinal chemistry[7-8]. The glycosides have found use as divertic agent, analgesics, antidiabetic compounds and in many other ways[9]. Methyl β-lactosyl can significantly reduce the formation of tumor colonies in mice[10]. To increase its efficiency multivalent β-lactosyl have been synthesized in Roy's group. Heterocyclic derivative of sugars were found to possess anti-tumor and anti-bacterial activity. Besides these and other pharmaceutical applications of glycosyl urecides, they also found to possess applications in paper, textile and food industries

II. 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-β-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 $[\alpha]_D^{28}$ = 125.20° (c, 0.96 in chloroform). The purity of the product was checked by TLC, Rf value 0.93 (CCl_4 : EtOAc, 3:2).

Experiment No. 2 : Synthesis of N-tetra-O-acetyl- β -D-glucosyl-O-ethyl thiocarbamate

Tetra-O-acetyl- β -D-glucosyl isothiocyanate (0.005 M, 1.9 g) was added to ethyl alcohol (20 ml) and the reaction mixture was refluxed over boiling water bath for 3 hr. It was then allowed to cool and pour it in water with vigorous stirring; a white granular solid was separated out, crystallized from aqueous ethnaol, m.p. 146°C. [Found C, 50.56; H, 6.09; N, 3.39; $C_{17}H_{25}O_{11}N$; requires; C, 50.62; H, 6.20; N, 3.47%]

It was found soluble in alcohols acetone, chloroform and benzene while insoluble in water and petroleum ether. It charred when warmed with conc. sulphuric acid. The specific rotation was found to be $[\alpha]_D^{35}$ = - 136° (c, 0.74 in chloroform). The purity was checked by TLC, and recorded Rf value 0.62 (CCl_4: EtOAc 3:2.1)

III. RESULTS AND DISCUSSION

The synthesis of N-glycosyl thiocarbamides is a simple and reliable route. This strategy can be successfully applied to prepare a wide range of glycosyl thiocarbamides and their derivatives which can be widely used for the preparation of biologically active molecules and good active lead in Medicinal Chemistry. Thus the synthesized novel N-glycosyl thiocarbamides exhibits antibacterial and antifungal activities against the organisms tested. The method adopted in the synthesis and investigation is simple, efficient and inexpensive in synthesizing pharmacologically important molecule.

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 1-Tetra-O-acetyl-β -D-glucosyl-3-aryl thiocarbamides (I a-g)

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

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

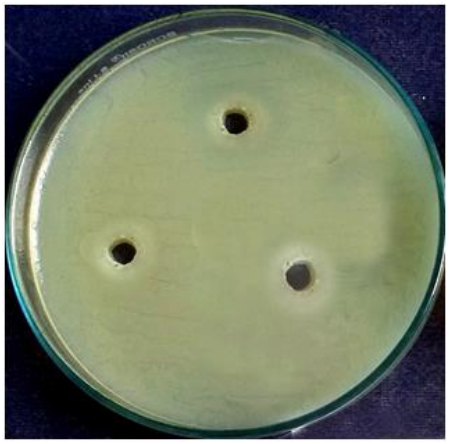
Table 2 : Antimicrobial activities of N-Tetra-O-acetyl-β -D-glucosyl-O-Alkylthiocarbamates (III a-e)

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

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

E.Coli

P.vulgaris



P.aeruginosa

The compounds 1-tetra-*O*-acetyl– β -D-glucosyl-3-aryl thiocarbamide (I-7) showed comparable activity. Compounds 1,2,3 showed strong activity against *P.vulgaris* and *S.aureus*. Compounds 1-7 showed moderate activity against used microorganisms.

The compounds *N*-tetra-*O*-acetyl- β -D-glucosyl-O-alkyl thiocarbamate (8-12) show resistance to good inhibition. Compounds 10, 12 showed strong inhibition against *E. coli* other are showed weak and moderate activity against used micro-organisms.

IV. 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 thiocarbamides and thiocarbamates 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.

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