



Comparative study of antimicrobial potential of newly synthesized N- & S-bis protected maltosyl isothiobiurets

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DOI - 10.5281/zenodo.15255041

Abstract:

Carbohydrates associated with N & S or both heteroatom containing derivatives have attracted the attention of chemist mainly because of broad spectrum biological, pharmacological & chemotherapeutic activities. As series of 2-S-tetra-O-acetyl- β -D-glucosyl-1-aryl-5-hepta-O-acetyl- β -D-maltosyl-2-isothiobiurets and 2-S-hepta-O-benzoyl- β -D-lactosyl-1-aryl-5-hepta-O-acetyl- β -D-maltosyl-2-isothiobiurets were comparatively screened for in vitro antimicrobial activities using standard cup plate method against a representative panel of Gram positive & Gram negative bacteria *E.coli*, *P. aeruginosa*, *P. vulgaris*, *S. aureus* and fungal strains such as *A. niger*, *C. albicans*.. It is revealed from the microbial screening results that few compounds manifested profound antimicrobial potential.

Keywords: Maltosyl, Isothiobiurets, Antimicrobial activity.

Introduction:

Carbohydrates represent an important class of substances to be investigated in many research disciplines, such as food chemistry, clinical research, bioanalytics and many more. A large number of analytical methods have been published over the years, which vary depending on the field of application and the explicit objective. Carbohydrates represent an important class of substances to be investigated in many research disciplines, such as food chemistry, clinical research, bioanalytics and many more.

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Carbohydrates represent an important class of substances to be investigated in much research discipline, such as food chemistry, medicinal chemistry, clinical research, bio analytics and many more. Carbohydrates isolated from natural sources, acyl glucoses and acyl glycosides have immense importance and some of them have effective biological activity^{1,2}. From literature survey, it was revealed³ that a large number of biological compounds possess aromatic, heteroaromatic acyl substituents, Nitrogen, Sulphur and halogen containing substituents are also known to enhance the biological activity of the parent compound³. So it was

interesting to study the chemistry & comparative biological study of such new type 2-*S*-tetra-*O*-acetyl- β -D-glucopyranosyl-1-aryl-5-hepta-*O*-acetyl- β -D-maltosyl-2-

isothiobiurets (**Ia-g**)⁴ & 2-*S*-hepta-*O*-benzoyl- β -D-lactosyl-1-aryl-5-hepta-*O*-acetyl- β -D-maltosyl-2-isothiobiurets (**IIa-g**)⁵

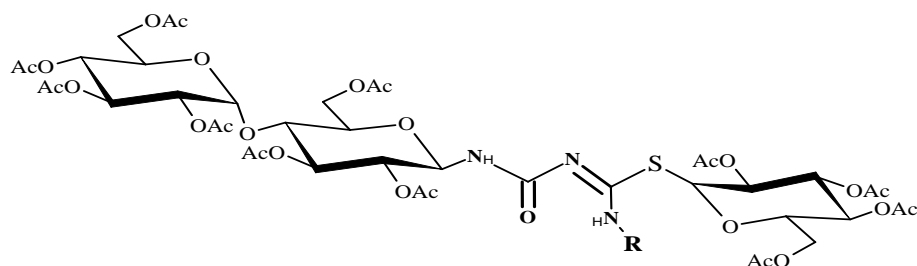


Fig. 1 : 2-*S*-tetra-*O*-acetyl- β -D-glucopyranosyl-1-aryl-5-hepta-*O*-acetyl- β -D-maltosyl-2-isothiobiurets (**Ia-g**) Where, R = a) phenyl, b) *o*-Cl-phenyl, c) *m*-Cl-phenyl, d) *p*-Cl-phenyl, e) *o*-tolyl, f) *m*-tolyl, g) *p*-tolyl

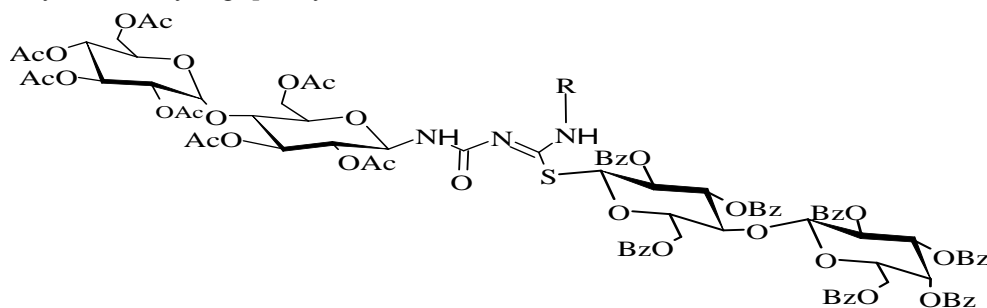


Fig. 2 : 2-*S*-hepta-*O*-benzoyl- β -D-lactosyl-1-aryl-5-hepta-*O*-acetyl- β -D-maltosyl-2-isothiobiurets (**IIa-g**) Where, R = a) phenyl, b) *o*-Cl-phenyl, c) *m*-Cl-phenyl, d) *p*-Cl-phenyl, e) *o*-tolyl, f) *m*-tolyl, g) *p*-tolyl

Antibacterial/antifungal activity

The antimicrobial activity of newly synthesized compounds were tested in vitro against bacteria *E.coli* (MTCC 1680), *P. aeruginosa* (MTCC 7197), *P.vulgaris* (MTCC 1771), *S.aureus* (MTCC 3160) and clinically isolated fungi *A.niger*, *C. albicans* by cup plate agar diffusion method⁶. After incubation at 35^oc for 24h for bacteria and

for fungi the plates were incubated at 30^oc for 24-48h, the diameters of the inhibition zones were measured in millimeters⁷. The compounds were taken at a concentration of 1mg/mL and compared with Gentamicin and Fluconazole as a positive control for different strains of bacteria and fungi for antibacterial and antifungal activities respectively (Table 1).

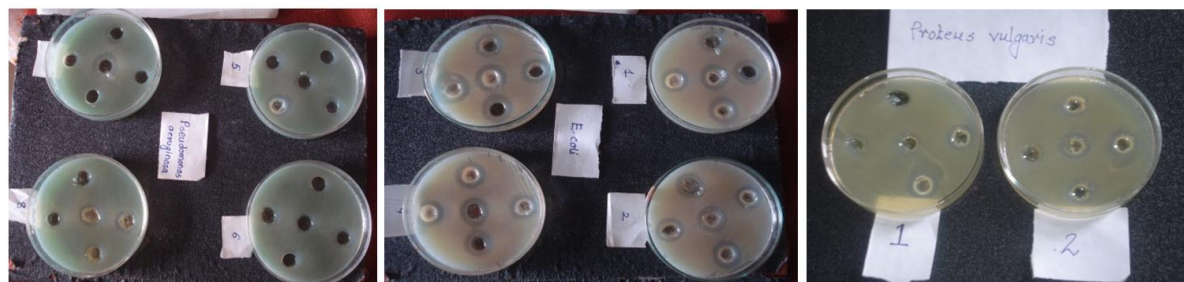


Fig. 2 : Screening Analysis of Compounds

Table 1: Antibacterial and antifungal activities of synthesized compounds **IIa-g**.
(Diameter of inhibition zone, measured in mm^a)

Compd.	Bacteria				Fungi	
	<i>E.coli</i> (MTCC 1680)	<i>P. aeruginosa</i> (MTCC 7197)	<i>P.vulgaris</i> (MTCC 1771)	<i>S.aureus</i> (MTCC 3160)	<i>A.niger</i> (clinically isolated)	<i>C. albicans</i> (clinically isolated)
Ia	21	19	15	20	15	21
Ib	15	20	16	21	15	22
Ic	20	20	16	20	11	22
Id	16	17	16	10	10	18
Ie	15	21	---	22	---	21
If	15	15	16	15	11	15
Ig	10	16	8	16	11	---
IIa	22	17	22	---	19	---
IIb	21	16	16	17	10	13
IIc	23	19	21	20	20	14
IId	22	14	18	---	18	10
IIIe	15	12	16	17	19	13
IIIf	23	17	20	---	17	16
IIIg	13	13	20	16	19	14
Gentamicin	24	20	23	24	---	---
Fluconazole	---	---	---	---	20	18

Bore size =7mm

--- No activity was observed.

^a values are the average of three readings.**Results and Discussion:**

Gentamicin (100 µg/ml) was used as a standard for antibacterial activity and Fluconazole (100 µg/ml) was used as a standard for antifungal activity.

The compounds 2-*S*-tetra-*O*-acetyl-β-D-glucopyranosyl-1-aryl-5-hepta-*O*-acetyl-β-D-maltosyl-2-isothiobiurets (Ia-g) showed good to moderate against used micro-organisms. The compounds Ia, c, d showed good activity against *S. aureus*, *P. aeruginosa*, *A. niger* and *C. albicans*. The compounds Ie and Ig showed moderate activity against *E. coli* and *P. vulgaris*.

The compounds 2-*S*-hepta-*O*-benzoyl-β-D-lactosyl-1-aryl-5-hepta-*O*-acetyl-β-D-maltosyl-2-isothiobiurets (IIa-g)

show weak to moderate activity against used micro-organism. The compounds IIa-d, IIf showed good activity against *S. aureus*, *P. vulgaris* and *P. aeruginosa* while other showed moderate and weak activity against used micro-organism.

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