CHAPTER - VII
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Antibacterial and antifungal activities of some novel thiolactosides

Abstract:

The compounds synthesized in chapter I-VI were screened for their antibacterial and antifungal activity against common pathogens like *Escherichia coli*, *Staphylococcus aureus*, *Proteus vulgaris*, *Salmonella typhi*, *Candida guillermondii* and *Aspergillus niger*. Some compounds exhibit less to good activity while some are resistant to the said microorganisms.

Carbohydrates represent an important chemical class as many drugs and drug intermediates are based on carbohydrate chemistry and many drugs such as amino glycoside antibiotics contain carbohydrate structures.

Carbohydrates are vastly diverse group of organic compounds occurring in all known plants, animal and microbial life. The function of carbohydrates is to provide energy and strength in plants, and in mammalian tissues they provide a whole variety of specialized functions ranging from cell and organ differentiation to immune protection for newborn babies.

Among all carbohydrates our interest is to synthesize sulphur linked lactosyl compounds due to its applications in medicinal chemistry and in many other ways. Interest in S-lactosyl derivatives of various sulpha drugs has arisen from their ease of dissolution in water such compounds includes derivatives of sulphanalimide, sulphonpyridine and sulphaguanidine. The glycosides have found use as diuretic agent, analgesics, antidiabetic compounds and in many other ways. Methyl-β-lactosyl can significantly reduce the formation of tumor colonico in micc. To increase its efficiency multivalent β-lactosyl have been synthesized in Roy’s group. Heterocyclic derivatives of sugars were found to possess antitumor and antibacterial activity. Beside these and
other pharmaceutical applications of glycosyl ureides, they also found to possess applications in paper\textsuperscript{12}, textile\textsuperscript{13-14} and food industries\textsuperscript{15}.

Recently, in our laboratory, S.K. Bhagat\textsuperscript{16} reported glucosyl thioureides and their derivatives, which possess antibacterial and antifungal activities. M.G. Dhonde\textsuperscript{17} also reported some heterocyclic derivatives of glucose containing sulphur and nitrogen, which possess antimicrobial activity.
PROBLEM

From review of literature it is quite evident that thiolactosides have several applications in industry and also in medicinal chemistry. They have found use as diuretic agents, analgesics, antidiabetic compounds and in many other ways. Heterocyclic derivatives of sugars were found to possess antitumor and antibacterial activity. Methyl-β-lactoside can significantly reduce the formation of lung tumor colonies in mice.

The work presented in this chapter deals with the study of antimicrobial activity of some novel thiolactosides against some common pathogens as E. coli, S. aureus, P. vulgaris, Salmonella typhi, Candida guilliermondii and A. niger. The following compounds were tested.

21. S-Hepta-O-acetyl lactosyl -1,5-diphenyl-2,4-isodithiobiuret.
22. S-Hepta-O-acetyl lactosyl -1-o-Cl-phenyl-5-phenyl-2,4-isodithiobiuret.
25. S-Hepta-O-acetyl lactosyl -1-o-tolyl-5-phenyl-2,4-isodithiobiuret.
26. S-Hepta-O-acetyl lactosyl -1-m-tolyl-5-phenyl-2,4-isodithiobiuret.
27. S-Hepta-O-acetyl lactosyl -1-p-tolyl-5-phenyl-2,4-isodithiobiuret.
28. 4-Phenyl-5-phenylimino-3-S-hepta-O-acetyl lactosyl -1,2,4-thiadiazoline.
29. 4-o-Cl-Phenyl-5-phenylimino-3-S-hepta-O-acetyl lactosyl -1,2,4-thiadiazoline.
30. 4-m-Cl-Phenyl-5-phenylimino-3-S-hepta-O-acetyl lactosyl -1,2,4-thiadiazoline.
31. 4-p-Cl-Phenyl-5-phenylimino-3-S-hepta-O-acetyl lactosyl -1,2,4-thiadiazoline.
32. 4-o-Tolyl-5-phenylimino-3-S-hepta-O-acetyl lactosyl -1,2,4-thiadiazoline.
33. 4-m-Tolyl-5-phenylimino-3-S-hepta-O-acetyl lactosyl -1,2,4-thiadiazoline.
34. 4-p-Tolyl-5-phenylimino-3-S-hepta-O-acetyl lactosyl -1,2,4-thiadiazoline.
35. 3-Phenyl-2,6-diphenylimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochloride.

36. 3-0-Cl-Phenyl-2,6-diphenylimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochloride.

37. 3-m-Cl-Phenyl-2,6-diphenylimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochloride.

38. 3-p-Cl-Phenyl-2,6-diphenylimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochloride.

39. 3-o-Tolyl-2,6-diphenylimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochloride.

40. 3-m-Tolyl-2,6-diphenylimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochloride.

41. 3-p-Tolyl-2,6-diphenylimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochloride.
PATHOGENECITY OF MICROORGANISMS

The nature, typical biochemical reaction and pathogenicity of used microorganisms is as given below:

*Escherichia coli* : It is gram negative and lives only in human or animal intestine. It ferments lactose, glucose, maltose, sucrose and mannitol.

Sporadic summer diarrhea, urinary tract infection, wound infection is caused by *E. coli*.

*Staphylococcus aureus* : It is gram positive and it ferments glucose, lactose, maltose, sucrose etc.

It may causes pyogenic lesions in man leading to pus formation. The majority of hospital cross infections are staphylococcal in nature.

*Proteus vulgaris* : It is gram negative and it hydrolyse urea. It is nonlactose fermenter.

It may cause urinary tract infection and pyogenic lesions like abscess, infection of wound, ear or respiratory tract.

*Salmonella typhi* : It is gram negative and found in intestine of man, animals and birds. It ferments glucose, mannitol and maltose.

It may cause enteric fever.

*Aspergillus niger* : *A. niger* is parasitic on man animal and plants. It occurs on preserved fruits, jam, jellies, tobacco etc.

It causes the well known disease called mycoses.
EXPERIMENTAL

The compounds screened for their antibacterial and antifungal activities were prepared as follows.

1. S-Hepta-O-acetyl lactosyl-1-arylisothiocarbamides\(^{19}\) (1a-g):
   These compounds were by the known procedure i.e. by the interaction of Hepta-O-acetyl lactosyl bromide and arylthiocarbamides (see chapter-I).

2. S-Hepta-O-acetyl lactosyl arylthiocarbamates\(^{20}\) (2a-g):
   These compounds were prepared by the interaction of hepta-O-acetyl lactosyl bromide and ammonium arylthiocarbamates (see chapter-II).

3. S-Hepta-O-acetyl lactosyl-1-aryl-5-phenyl-2-isothioiurets\(^{21}\) (3a-g):
   These compounds were prepared by the method described earlier i.e. by the interaction of S-hepta-O-acetyl lactosyl-1-arylisothiocarbamides and phenyl isocyanate (see chapter-III).

4. S-Hepta-O-acetyl lactosyl-1-aryl-5-phenyl-2,4-isodithioiurets\(^{21}\) (4a-g).
   These series of compounds were prepared by the method known earlier i.e. by the interaction of S-hepta-O-acetyl lactosyl-1-arylisothiocarbamides and phenyl isothiocyanate (see chapter-IV).

5. 4-Aryl-5-phenyllimino-3-S-hepta-O-acetyl lactosyl-1,2,4-thiadiazolines\(^{22}\) (5a-g):
   These compounds were prepared by the interaction of S-hepta-O-acetyl lactosyl-1-aryl isothiocarbamides and S-chloro-N-phenyl isothiocarbamoyl chloride (see chapter V).

6. 3-Aryl-2, 6-diphenyllimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochlorides\(^{23}\) (6a-g):
   These compounds were prepared by the method described earlier i.e. by the interaction of S-Hepta-O-acetyl lactosyl-1-aryl-5-
phenyl-2,4-isodithiobiurets and phenyl isocyanodichloride (see chapter VI).

All the above compounds have been characterized on the basis of chemical properties, elemental analysis and IR, NMR and Mass spectral studies. The melting points were recorded on electrothermal melting point apparatus and are uncorrected.

MATERIALS AND METHODS

The compounds were screened against pathogenic bacteria and fungi for their antibacterial and antifungal activity using cup plate agar diffusion method\textsuperscript{24,25}. The organisms screened were \textit{Escherichia coli}, \textit{Staphylococcus aureus}, \textit{Proteus vulgaris}, \textit{Salmonella typhi}, \textit{Aspergillus niger} and \textit{Candida guilliermondii}.

The medium used for antibacterial study was Hi-media, India (make) nutrient agar and having following composition.

**Composition of Nutrient Agar**

<table>
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<th>Component</th>
<th>Concentration</th>
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<tr>
<td>Peptone</td>
<td>5.0 gm/litre</td>
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<tr>
<td>Sodium chloride</td>
<td>5.0 gm/litre</td>
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<tr>
<td>Beef extract</td>
<td>5.0 gm/litre</td>
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<tr>
<td>Yeast extract</td>
<td>5.0 gm/litre</td>
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<tr>
<td>Agar powder</td>
<td>15-20 gm/litre</td>
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<tr>
<td>pH</td>
<td>7.4±0.2</td>
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</table>

The medium used for antifungal activities was Hi-media, India (make) potato dextrose agar and having following composition.

**Composition of Potato Dextrose Agar**

<table>
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<td>Potato infusion form</td>
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<tr>
<td>Dextrose</td>
<td>20g/lit.</td>
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<tr>
<td>Agar</td>
<td>15g/lit.</td>
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<tr>
<td>pH</td>
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</table>

The media was prepared by dissolving weighed ingredients and was sterilized at 1210 \textdegree C temperature and 15lbs/inch\textsupersquare pressure.
for fifteen minutes. After sterilization it was cooled down to about 50°C and poured in to sterile petriplates and allowed to solidify. The media plates were seeded with 24 hr old active nutrient broth culture (1 ml/plate) of the test organism in order to obtain lawn culture. A stainless steel cylinder of 8 mm diameter was used to bore the cavities.

The compounds were taken at a concentration of 1 mg/ml using dimethyl formamide (DMF) as solvent. Into the wells were added 0.1 ml portions of the test compounds in solvent. The drug solution was allowed to diffuse for about an hour into the medium. The plates were incubated at 37°C for 24 hr and 30°C for 48 hr for antibacterial and antifungal activities respectively.

The zone of inhibition observed around the wells after respective incubation was measured in mm by using ‘antibiotic zone reader’. The results are cited in Table-1.
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<th>Ec</th>
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<th>Pu</th>
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<tr>
<td>43</td>
<td>Fluconazole</td>
<td>-</td>
<td>-</td>
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<td>25</td>
<td>26</td>
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<tr>
<td>44</td>
<td>DMF (dimethyl formamide)</td>
<td>-</td>
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RESULTS AND DISCUSSION

Amikacin (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 S-Hepta-O-acetyl lactosyl-1-arylisothiocarbamide (1-7) showed comparable activity. Compound 2 and 7 showed strong inhibition against S. typhi and E. coli respectively.

Compound 1 and 5 were sensitive towards C. guilliermondii and A. niger.

The compounds S-Hepta-O-acetyl lactosyl arylidithiocarbamate (8-13) showed weak to good activity against used microorganisms. Compounds 9, 10, 12, 13 showed strong inhibition against S. typhi while other exhibited weak to moderate activity.

Compound 8 exhibit strong activity against C. guilliermondii and other showed moderate activity.

The compounds S-Hepta-O-acetyl lactosyl-1,5-disubstituted-2-isothiobiuret (14-20) exhibit resistant to good inhibition. Compound 18 inhibited strongly to P. vulgaris and 15, 19 are resistant to E. coli and S. typhi. Other showed moderate activity.

Compound 19 showed strong inhibition against C. guilliermondii and 15, 16, 18, 19 are strongly active against A. niger. Other compounds are moderately active towards used fungi.

The compounds S-Hepta-O-acetyl-1,5-disubstituted-2,4, isodithiobiuret (21-27). Compound 24, 27 showed strong inhibition against P. vulgaris and E. coli respectively. Compounds 26 and 27 showed no zone of inhibition against S. aureus while other compounds showed moderate inhibition.

Compounds 21, 23 exhibit strong inhibition against C. guilliermondii and compound 27 was strongly active against A. niger.
4-Aryl-5-phenylimino-3-S-hepta-O-acetyl lactosyl-1,2,4-thiadiazoline (28-34) was moderately active against bacteria. Compound 32 showed strong inhibition against S. aureus and other are moderately active. Compound 33 and 34 showed no zone of inhibition.

Compounds 29, 31, 34 were strongly active against C. guilliermondii and 28, 29 were sensitive towards A. niger. Other showed moderate inhibition.

3-Aryl-2,6-diphenylimino-4-S-hepta-O-acetyl lactosyl-2,3-dihydro-1,3,5-thiadiazine hydrochloride (35-41) showed comparable activities. Compounds 36, 37, 38, 39, 40, 41 showed weak activity against S. aureus while other compounds were moderately active.

Compounds 35, 37, 39 are sensitive towards C. guilliermondii while other are moderately active. Compounds 35 and 38 showed strong inhibition against A. niger.

From the result it can be concluded that the compounds synthesized in the chapters I-VI are sensitive towards S. typhi and A. niger.
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