Synthesis and antimicrobial activity of 3-cyanamidino-5-glycosylimino-1,2,4-thiadiazolines

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ABSTRACT

The synthesis of novel glycosides derivatives and investigation of their chemical and biological behavior have gained more importance in recent decades. 3-cyanamidino-5-glycosylimino-1,2,4-thiadiazolines were synthesized by the oxidative cyclization of glycosyl-3-cyanamidino thiocarbamides. The 1-glycosyl-3-cyanamidino thiocarbamides were prepared by the interaction of glycosyl isothiocyanates with dicyanodiame. The identities of these newly synthesized compounds have been established on the basis of usual chemical transformations and IR, 1H NMR and Mass spectral studies. The synthesized compounds were assayed for their antimicrobial activity most of them possess moderate to significant activity.

Keywords: Glycosyl isothiocyanates, dicyanodiame, 1-glycosyl-3-cyanamidino thiocarbamides, thiadiazolines, antimicrobial activity.

INTRODUCTION

The chemistry of heterocyclic compounds have been an interesting field of study for a long time. The synthesis of novel thiadiazole derivatives and investigation of their chemical and biological behavior have gained more importance in recent decades. Thiocarbamido, amidino thiocarbamido, cyanamidino thiocarmido and thioamido nucleus containing heterocycles. These heterocycles possesses their own identity in pharmaceutical, industrial, agricultural and medicinal sciences.1-5

Sugar linked thiadiazolines have a wide range of biologically important uses such as inhibition of replication of HIV, antihypertensive also as potential hypoglycemic agents and antiarrhythmic activities. Also these nucleus containing compounds enhance the potential and therapeutical value of that drug. Hence, there is an evolution in drug, pharmaceutical and medicinal sciences.

The above uses of thiadiazolines and our interest in carbohydrate chemistry promoted us to combine them in a single entity and thus this involves the synthesis of 3-cyanamidino-5-glycosylimino-1,2,4-thiadiazolines (VIa-f).

MATERIALS AND METHODS

Melting points of the synthesized compounds were recorded on electro thermal melting point apparatus and are uncorrected. Specificrotations of the newly synthesized compounds were measured on Equip-Tronic digital polarimeter model no. EQ 801 at 30°C in CHCl3. IR spectra were recorded on a Shamazdu FTIR spectrophotometer.
HNM R were obtained on a Bruker DRX-300(300 MHz FT NMR) NMR spectrophotometer in CDCl$_3$ solution with TMS as an internal reference. The MS spectra were recorded on a Jeol SX-102 FAB mass spectrophotometer. Purity of the compounds was checked by thin layer chromatography using Merck silica gel coated aluminum plates and petroleum ether; ethyl acetate as eluent. The glycosyl bromide was prepared according to the literature$^{10-11}$.

General Procedure:
Synthesis of 1-glycosyl-3-cyanamidino thiocarbamides (IIIa-f):
A (0.005mol) dicyandiamide (II) was added to a solution of glycosyl isothiocyanates (Ia-f) (0.005mol) in 15ml acetone and the reaction mixture were refluxed over boiling water bath for 3hr. After refluxing, the solvent was distilled off and the sticky residue obtained was triturated with petroleum ether (60-80°C) to afford a granular solid (IIIa-f). The products were purified by recrystallization from chloroform-petroleum ether (1:3).

Synthesis of 3-cyanamidino-5-glycosylamin-1, 2, 4-thiadiazolines (IVa-f):
1-glycosyl-3-cyanamidino thiocarbamides (IIIa-f) were made into paste with chloroform (2ml) and to it bromine solution in chloroform (20% bromine in chloroform, v/v) was added drop wise with stirring till the evolution of lachrymatory fumes of hydro bromide. An orange red sticky mass thus obtained was allowed to stand for 5-6 hr. The sticky mass then subjected to basification which then gives product 3-cyanamidino-5-glycosylamin-1, 2, 4-thiadiazolines (IVa-f).

(Scheme I).

Spectral Data:
1-tetra-O-benzoyl-β-D-glucosyl-3-cyanamidino thiocarbamide (IIIa) :-
IR(KBr cm$^{-1}$):3423(N-H str.), 1454.33(C=N), 3061 (Aromatic C-H), 1730.15 (C=O), 1454.33 (C=N), 1313 (C-O), 704.02(Monosubstituted benzenes);$^{1}$H NMR (CDCl$_3$,ppm): δ 8.20-7.46(21H,m, Aromatic proton), 7.36-6.61(7H, m, glucosyl ring), 4.519-4.185 (4H, s, N-H proton),$^{13}$C NMR=MAS$^{13}$C(m/z): 723(M$^{+}$+2), 566 (M$^{+}$-C$_2$N$_2$H$_2$), 579 (TBG$^+$, M$^{+}$-C$_3$N$_2$H$_7$), 517(M$^{+}$-C$_5$H$_7$SO$_3$CO$_2$) (Found: C, 61.49; H, 5.20; N, 12.37; S, 4.41% Caled for C$_{76}$H$_{70}$N$_{25}$O$_{29}$S: C, 61.58; H, 5.27; N, 12.39; S, 4.40%)

1-hepta-O-benzoyl-β-D-lactosyl-3-cyanamidino thiocarbamide (IIIId):-
IR(KBr cm$^{-1}$):3318 (N-H), 3062 (Aromatic C-H), 1730 (C=O), 1452 (C=N), 1176 (C=S), 1265 (C-O),713 (Monosubstituted benzene);$^1$H NMR (CDCl$_3$,ppm): δ 8.13-5.75 (39H, m, Aromatic proton), 5.66-4.98 (2H, s, aliphatic NH), 4.71-1.07 (14H, m, lactosyl protons), MASS(m/z): 1195(M$^{+}$), 1160(HBL$^+$,M-H,S,C$_2$H$_2$N), 949(HBL-C$_5$O$_2$H$_2$N$_2$), 845(C$_3$H$_7$N$_3$O$_5$), 579 (HBL-C$_{14}$H$_{14}$O$_{12}$N$_2$2 OBz), 151 (HBL-C$_{10}$O$_{15}$N$_{2}$S,OBz);(Found:C,64.20; H,4.43; N,7.53; S,2.67%).

1-hepta-O-acetyl-β-D-maltosyl-3-cyanamidino thiocarbamide (IIIe):-
IR(KBr cm$^{-1}$):3431 (N-H), 2968 (Aliphatic C-H), 1745 (C=O), 1504 (C=N), 1369 (C-O),1055 (C-S str), 1176 and 1026 (Characteristics of characteristics of maltose); $^1$H NMR (CDCl$_3$,ppm): δ 5.58-5.21 (4H, s, N-H), 2.11-1.22(21H, s, aliphatic protons), 5.24-2.14 (14H, m, maltosyl proton), MASS(m/z): 763(M$^{+}$+2), 732 (M$^{+}$-S),701 (M$^{+}$-S,C$_2$H$_2$N), 659 (HAM$^+$ M$^{+}$-C$_4$H$_7$N$_2$S, 560(HAM$^+$M$^{+}$-C$_4$H$_7$N$_2$SO$_3$), 331(TAG$^+$,M$^{+}$-C$_3$N$_2$H$_7$SO$_3$), 169(TAG-C$_3$N$_2$H$_7$SO$_3$). (Found:C,45.68; H,4.98; N,11.84; S,4.23% Caled for C$_{55}$H$_{56}$O$_{29}$N$_{25}$S: C,45.72; H,5.12; N,11.82; S,4.20%).

3-cyanamidino-5-tetra-O-benzoyl-β-D-glucosylamin-1, 2, 4-thiadiazolines (IVA):-
IR (KBr cm$^{-1}$):3496(N-H str.), 1454.40(C=C=N), 3062.96 (Aromatic C-H), 1730 (C=O), 1454.33 (C=N), 1265.30 (C-O), 1176.58 (C=S str), 713.66(Monosubstituted benzenes);$^1$H NMR (CDCl$_3$,ppm): δ 7.38-7.34(20H,m, Aromatic proton), 5.94-5.51 (7H, m, glucosyl ring),8.11-7.62 (2H, s, N-H proton), MASS (m/z):719(M$^{+}$-2), 693 (M$^{+}$-CN), 655(M$^{+}$-C$_3$N$_2$), 579 (TBG$^+$, M$^{+}$-C$_4$H$_7$N$_2$S), 288(TBG-2OBz,CO$_2$H$_2$C$_2$N$_2$H$_2$) (Found:C, 56.09; H, 3.58; N, 12.48; S, 4.47% Caled for C$_{79}$H$_{70}$N$_{25}$O$_{29}$S: C, 56.13; H, 3.66; N, 12.51; S, 4.45%)

3-cyanamidino-5-hepta-O-benzoyl-β-D-glucosylamin-1, 2, 4-thiadiazolines (IVd):-
IR (KBr cm$^{-1}$):3062.96(N-H), 2920.23,2852.72 (Ali C-H), 1751 (C=O), 1651(C=N), 875 (C=S), 1072(C=O); $^1$H NMR (CDCl$_3$,ppm): δ 2.12-2.097 (2H, m, NH), 5.35-3.90(21H, s, aliphatic proton), 2.07-1.98 (14H, m, lactosyl protons), MASS (m/z):759(M$^{+}$), 733(M$^{+}$-CN), 717 ( M$^{+}$-CN$_2$H$_7$), 691(M$^{+}$-C$_2$N$_2$H$_7$), 619(HAL$^+$, M$^{+}$-CN$_2$H$_7$S), 559 (HALL-C$_3$N$_2$H$_7$SO$_3$), 331(TAG, M$^{+}$-C$_3$N$_2$H$_7$SO$_3$), 169(TAG, M$^{+}$-C$_4$N$_2$H$_7$SO$_3$; CO$_2$);(Found:C,64.30; H,4.18; N,7.52; S,2.70% Caled for C$_{60}$H$_{60}$N$_{25}$O$_{29}$S: C,64.37; H, 4.27; N,7.54; S,2.68%).

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186

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3-cyanamidino-5-hepta-O-benzoyl-β-D-maltosylimino-1, 2, 4-thiadiazoline (IVf):-
IR(KBr cm\(^{-1}\))): 3338.72 (N-H), 3061.03 (Aromatic C-H), 1743.65 (C=O), 1643 (C=N), 1284.50 (C-O), 858 (C-S str), 1176 and 1026 (Characteristics of maltose);
\(^{1}\)H NMR (CDCl\(_3\), ppm): δ 7.54- 7.48 (2H, s, N-H), 8.11-7.62 (35H, s, aromatic protons), 5.73-4.39 (14H, m, maltosyl proton);
MASS(m/z): 1193(M\(^{+}\)), 1120(M-CN\(_2\)SH), 1093(M-C\(_2\)N\(_3\)H\(_2\)S), 1053(HBM+, M-C\(_2\)N\(_3\)H\(_2\)S), 976(HBM-C\(_2\)H\(_2\)), 932 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 905 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 882 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 859 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 836 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 812 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 788 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 764 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 740 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 716 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 692 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 668 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 644 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 620 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 596 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 572 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 548 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 524 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 500 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 476 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 452 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 428 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 404 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 380 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 356 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 332 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 308 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 284 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 260 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 236 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 212 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 188 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 164 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 140 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 116 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 92 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 70 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 48 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 26 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 14 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 2 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S), 0 (HBM-TBG, M-C\(_2\)N\(_3\)H\(_2\)S).

Antibacterial activity:-
Newly synthesized thiadiazolines were tested against following pathogenic microbes for their antibacterial and antifungal activities using cup plate agar diffusion method\(^{12}\) like Escherichia coli, Staphylococcus aureus, Proteus vulgaris, Pseudomonas aeruginosa and Acinobactor baumani on agar medium and Aspergillus niger, Candida albicans in potato dextrose agar medium. The results of antimicrobial activities are also presented in Table-III and IV.

It has been observed that some of these compound exhibited interesting microbial activities. Almost all the compounds exhibited moderate to sensitive activities against Ps. aeruginosa, A. Baumani and K. pneumonia while all compounds exhibited low to moderate activity against E. Coli, S. aureus all compounds exhibits moderate to sensitive activities against A. niger and C. albicans.

Scheme-I:
A) Synthesis of 1-glycosyl-3-cyanamidino thiocarbamide (IIIa):

\[
\begin{array}{c}
\text{Tetra-O-acetyl-β-D} \\
\text{isothiocyanate (Ia)}
\end{array} + \begin{array}{c}
\text{NH}_2 - C - NH-CN \\
\text{Acetone, Refl.4h}
\end{array} \rightarrow \begin{array}{c}
\text{1-tetra-O-acetyl-β-D-gluicosyl-3-glucosyl} \\
\text{cyanamidino thiocarbamide (IIIa)}
\end{array}
\]

B) Synthesis of 3-cyanamidino-5-glycosylimino-1, 2, 4-thiadiazoline (IVa):

\[
\begin{array}{c}
\text{1-tetra-O-acetyl-β-D-gluicosyl-3-} \\
\text{thiocarbamide(IIIa)}
\end{array} \rightarrow \begin{array}{c}
\text{Br}_2 \text{in Chloroform} \\
\text{Basification}
\end{array} \rightarrow \begin{array}{c}
\text{3-cyanamidino-5-tetra-O-acetyl-β-D-} \\
\text{cyanamidino thiocarbamide(IVa)}
\end{array}
\]

Where,

\[
\begin{array}{c}
\text{Tetra-O-benzoyl-β-D-gluicosyl isothiocyanate,} \\
\text{Hepta-O-acetyl-β-D-lactosyl isothiocyanate,} \\
\text{Hepta-O-benzoyl-β-D-lactosyl isothiocyanate,} \\
\text{Hepta-O-acetyl-β-D-maltosyl isothiocyanate,}
\end{array}
\]

Where, Bz = COC\(_6\)H\(_5\), Ac = COCH\(_3\)
Hepta-O-benzoyl-β-D-maltosyl isothiocyanate

Table I: 1-glycosyl-3-cyanamidino thiocarbamides (IIIa-f) (Scheme 1). Reactants i) Glycosyl isothiocyanates (Ia-f), ii) Dicyanodiamide (II)

<table>
<thead>
<tr>
<th>Sr. No.</th>
<th>Product</th>
<th>m.p. (°C)</th>
<th>Yield (%)</th>
<th>Analysis (%)</th>
<th>Rf Value</th>
<th>[α]_D&lt;sup&gt;21&lt;/sup&gt; (c, in CHCl₃)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td></td>
<td></td>
<td>N</td>
<td>S</td>
<td></td>
</tr>
<tr>
<td>1.</td>
<td>IIIa</td>
<td>142</td>
<td>83</td>
<td>18.96 (18.98)</td>
<td>6.76 (6.75)</td>
<td>0.62</td>
</tr>
<tr>
<td>2.</td>
<td>IIIb</td>
<td>96</td>
<td>86</td>
<td>12.37 (12.39)</td>
<td>4.41 (4.44)</td>
<td>0.79</td>
</tr>
<tr>
<td>3.</td>
<td>IIIc</td>
<td>118</td>
<td>82</td>
<td>11.83 (11.83)</td>
<td>4.21 (4.20)</td>
<td>0.54</td>
</tr>
<tr>
<td>4.</td>
<td>IIId</td>
<td>135</td>
<td>81</td>
<td>7.51 (7.53)</td>
<td>2.68 (2.67)</td>
<td>0.59</td>
</tr>
<tr>
<td>5.</td>
<td>IIIe</td>
<td>82</td>
<td>77</td>
<td>11.84 (11.82)</td>
<td>4.23 (4.20)</td>
<td>0.64</td>
</tr>
<tr>
<td>6.</td>
<td>IIIf</td>
<td>167</td>
<td>70</td>
<td>7.52 (7.53)</td>
<td>2.69 (2.67)</td>
<td>0.72</td>
</tr>
</tbody>
</table>

C and H analysis were found satisfactory in all cases.

Table II: 3-cyanamidino-5-glycosyl imino-1, 2, 4-thiadiazolines(IVa-f) (Scheme 1).

<table>
<thead>
<tr>
<th>Sr. No.</th>
<th>Product</th>
<th>m.p. (°C)</th>
<th>Yield (%)</th>
<th>Analysis% found(required)</th>
<th>Rf Value</th>
<th>[α]_D&lt;sup&gt;21&lt;/sup&gt; (c, in CHCl₃)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td></td>
<td></td>
<td>N</td>
<td>S</td>
<td></td>
</tr>
<tr>
<td>1.</td>
<td>IVa</td>
<td>82</td>
<td>93</td>
<td>5.79 (5.81)</td>
<td>2.65 (2.66)</td>
<td>0.67</td>
</tr>
<tr>
<td>2.</td>
<td>IVb</td>
<td>134</td>
<td>89</td>
<td>5.80 (5.81)</td>
<td>2.64 (2.66)</td>
<td>0.80</td>
</tr>
<tr>
<td>3.</td>
<td>IVc</td>
<td>148</td>
<td>84</td>
<td>6.35 (6.37)</td>
<td>4.25 (4.30)</td>
<td>0.69</td>
</tr>
<tr>
<td>4.</td>
<td>IVd</td>
<td>127</td>
<td>81</td>
<td>8.0 (8.06)</td>
<td>4.37 (4.60)</td>
<td>0.76</td>
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<tr>
<td>5.</td>
<td>IVe</td>
<td>136</td>
<td>86</td>
<td>8.0 (8.06)</td>
<td>4.58 (4.60)</td>
<td>0.69</td>
</tr>
<tr>
<td>6.</td>
<td>IVf</td>
<td>130</td>
<td>73</td>
<td>10.87 (10.95)</td>
<td>6.18 (6.26)</td>
<td>0.78</td>
</tr>
</tbody>
</table>

Antimicrobial activities of novel 1-glycosyl-3-cyanamidino thiocarbamides (IIIa-f)

<table>
<thead>
<tr>
<th>Compounds</th>
<th>Antibacterial</th>
<th>Antifungal</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>E. coli</td>
<td>S. aureus</td>
</tr>
<tr>
<td>IIIa</td>
<td>14</td>
<td>12</td>
</tr>
<tr>
<td>IIIb</td>
<td>12</td>
<td>14</td>
</tr>
<tr>
<td>IIIc</td>
<td>13</td>
<td>14</td>
</tr>
<tr>
<td>IIId</td>
<td>12</td>
<td>12</td>
</tr>
<tr>
<td>IIIe</td>
<td>16</td>
<td>12</td>
</tr>
<tr>
<td>IIIf</td>
<td>14</td>
<td>12</td>
</tr>
<tr>
<td>Amikacin</td>
<td>14</td>
<td>22</td>
</tr>
<tr>
<td>Fluconazole</td>
<td>---</td>
<td>24</td>
</tr>
</tbody>
</table>

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Table IV: Antimicrobial activities of novel 3-cyanamidino-5-glycosylimino-1, 2, 4-thiadiazolines (IVa-f)

<table>
<thead>
<tr>
<th>Compounds</th>
<th>E. coli</th>
<th>S. aureus</th>
<th>K. pneumoniae</th>
<th>P. aeruginosa</th>
<th>A. Baumanii</th>
<th>A. niger</th>
<th>C. albicans</th>
</tr>
</thead>
<tbody>
<tr>
<td>IVa</td>
<td>12</td>
<td>12</td>
<td>12</td>
<td>18</td>
<td>16</td>
<td>17</td>
<td>18</td>
</tr>
<tr>
<td>IVb</td>
<td>12</td>
<td>12</td>
<td>13</td>
<td>18</td>
<td>16</td>
<td>20</td>
<td>16</td>
</tr>
<tr>
<td>IVc</td>
<td>13</td>
<td>12</td>
<td>12</td>
<td>17</td>
<td>16</td>
<td>20</td>
<td>20</td>
</tr>
<tr>
<td>IVd</td>
<td>13</td>
<td>12</td>
<td>13</td>
<td>18</td>
<td>17</td>
<td>18</td>
<td>18</td>
</tr>
<tr>
<td>IVe</td>
<td>13</td>
<td>12</td>
<td>12</td>
<td>15</td>
<td>16</td>
<td>17</td>
<td>16</td>
</tr>
<tr>
<td>IVf</td>
<td>13</td>
<td>12</td>
<td>12</td>
<td>18</td>
<td>15</td>
<td>16</td>
<td>16</td>
</tr>
<tr>
<td>Amikacin</td>
<td>22</td>
<td>24</td>
<td>27</td>
<td>20</td>
<td>29</td>
<td>---</td>
<td>---</td>
</tr>
<tr>
<td>Flucanazole</td>
<td>---</td>
<td>---</td>
<td>---</td>
<td>---</td>
<td>---</td>
<td>25</td>
<td>26</td>
</tr>
</tbody>
</table>

RESULTS AND DISCUSSION

Several 3-cyanamidino-5-glycosylimino-1, 2, 4-thiadiazolines (VIa-f) have been synthesized by the oxidative cyclization of 1-glycosyl-3-cyanamidino thiocarbamides (Va-f) by using molecular bromine in chloroform. These 1-glycosyl-3-cyanamidino thiocarbamides were synthesized by condensation of dicyanodiamide (V) and various glycol isothiocyanates (IIa-f). (Scheme-I).

The reaction was monitored by TLC. The structures of the products were confirmed by IR, 1H NMR and Mass spectral analysis and elemental analysis (Table I & II). The specific rotations of the product were also recorded.

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 thiadiazolines 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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