CHAPTER IV

Overall results & discussion
4.1 Overall Results and Discussion

Minimum inhibitory concentrations of tested compounds showed that synthesis of various quinoxaline derivatives incorporated with 1,3,4-oxadiazole, 1,3,4-thiadiazole, azetidinone, thiazolidinone and imidazolone demonstrated variation in activity (increase or decrease) depending upon electronic properties of the substituents.

The results of antibacterial and antifungal activities of each species have been discussed in Chapter I (Section I Table 8-12; Section II Table 2), Chapter II (Table 3.4), and Chapter III (Section I Table 2; Section II Table 3,4), and compared with standard drugs from microbial screening results. We have selected several compounds to screen against \textit{Mycobacterium tuberculosis} \textit{H}_{\text{37}}\textit{Rv} and compared with standard drug rifampicin and isoniazide. The results are concluded here as follows;

- **1,3,4-oxadiazole with thiazolidine-2,4-dione** containing 2-OH and 4-F display very good activity against \textit{E. coli}, \textit{P. aeruginosa} and \textit{S. aureus} compared with ampicillin. Almost all compounds possessed very good activities against \textit{C. albicans} compared with griseofulvin.

- **1,3,4-oxadiazole with amides** containing -Cl, -CH\textsubscript{3} and -NO\textsubscript{2} group demonstrated very good activity against all bacterial species compared with ampicillin. Compounds were found good active towards \textit{C. albicans} compared to griseofulvin.

- **Styrile 1,3,4-oxadiazoles** derivatives (-Cl, -OH and -NO\textsubscript{2} ) were active against \textit{E. coli}, \textit{P. aeruginosa} and \textit{S. aureus} compared with ampicillin; whereas good activity was observed against \textit{C. albicans}.

- **1,3,4-thiadiazole with thiazolidine-2,4-dione** containing –Cl and –CH\textsubscript{3} group possessed very good activity against \textit{S. aureus} and \textit{S. pyogenes}. –Cl, -OH and –CH\textsubscript{3} group containing derivatives are also active against \textit{C. albicans}, while poor against rest of the fungal species.

- **Azetidinone** derivatives 73 (4-OH, 3-OCH\textsubscript{3}) exhibited very good activities against \textit{E. coli} and \textit{P. aeruginosa} compared to ampicillin. Compounds containing –CH\textsubscript{3}, -Br and –H group exhibited very good activity against \textit{S. aureus}. In the case of fungal species most of compounds were active against \textit{C. albicans}. 

• **Thiazolidinones** containing 2-Cl group showed good activity against *E. coli*, *S. aureus* and *S. pyogenes*. Compounds 89 (4-OH, 3-OCH<sub>3</sub>, 5-NO<sub>2</sub>) and 90 (-H) showed very good activity against *C. albicans*.

• **Imidazolones** containing 4-OCH<sub>3</sub> and 2-NO<sub>2</sub> group demonstrated very good activity against *E. coli* and good activity against *P. aeruginosa*. Most of derivatives are active against fungal *C. albicans*.

• Out of all tested compounds 14 and 6f show significant anti-tubercular activity.

From the conclusion we have listed the following compounds with structures which showed the comparable activities with the standard drugs for different strains; Whereas weak activities were observed with *A. niger* and *A. clavatus*.

**E. coli**

![Structures of tested compounds with MIC values](image)

- 4 MIC 62.5 µg/ml
- 5 MIC 100 µg/ml
- 9 MIC 62.5 µg/ml
- 12 MIC 100 µg/ml
- 18 MIC 100 µg/ml
- 21 MIC 62.5 µg/ml
- 22 MIC 100 µg/ml
- 27 MIC 100 µg/ml
32 MIC 50 µg/ml

34 MIC 62.5 µg/ml

35 MIC 100 µg/ml

68 MIC 100 µg/ml

73 MIC 100 µg/ml

74 MIC 62.5 µg/ml

76 MIC 100 µg/ml

88 MIC 100 µg/ml

89 MIC 100 µg/ml

91 MIC 100 µg/ml
P. aeruginosa
S. aureus
26 MIC 62.5 µg/ml

27 MIC 100 µg/ml

32 MIC 100 µg/ml

34 MIC 100 µg/ml

38 MIC 125 µg/ml

42 MIC 125 µg/ml

46 MIC 125 µg/ml

51 MIC 125 µg/ml

66 MIC 100 µg/ml

67 MIC 125 µg/ml
*S. pyogenes*

19 MIC 25 µg/ml

20 MIC 100 µg/ml

20 MIC 100 µg/ml

70 MIC 100 µg/ml

76 MIC 100 µg/ml

*C. albicans*

41MIC 100 µg/ml

46 MIC 100 µg/ml
A. niger
Antitubercular activity

From the results of antibacterial and antifungal activity, selected compounds were screened for antitubercular activity against *M. tuberculosis H$_{37}$Rv*.

Table 1: Antitubercular activity of some selected active compounds

<table>
<thead>
<tr>
<th>Compound</th>
<th>MIC values (µg/ml) of <em>M. tuberculosis H$_{37}$Rv</em></th>
<th>% Inhibition</th>
</tr>
</thead>
<tbody>
<tr>
<td>66</td>
<td>1000</td>
<td>99%</td>
</tr>
<tr>
<td>68</td>
<td>250</td>
<td>99%</td>
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<tr>
<td>70</td>
<td>250</td>
<td>99%</td>
</tr>
<tr>
<td>73</td>
<td>500</td>
<td>98%</td>
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<tr>
<td>74</td>
<td>500</td>
<td>97%</td>
</tr>
<tr>
<td>76</td>
<td>500</td>
<td>97%</td>
</tr>
<tr>
<td>84</td>
<td>500</td>
<td>96%</td>
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<td>96</td>
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<td>97</td>
<td>100</td>
<td>99%</td>
</tr>
<tr>
<td>6f</td>
<td>25</td>
<td>99%</td>
</tr>
<tr>
<td>I</td>
<td>250</td>
<td>96%</td>
</tr>
<tr>
<td>Rifampicin</td>
<td>40</td>
<td>99%</td>
</tr>
<tr>
<td>Isoniazide</td>
<td>0.2</td>
<td>99%</td>
</tr>
</tbody>
</table>
Conferences attended

1. **26th Annual Conference 2007, Indian Council of Chemists**, Organized by Department of Chemistry; Dr. H. S. Gour University, Sagar (M.P.), February, 26-28, 2008. “New (4-oxo-thiazolidinyl)-quinazolin-4(3H)one and their microbial studies”


3. **National Conference on Green Chemistry** Organized by Department of Chemistry; Veer Narmad South Gujarat University, Surat, February, 6-8, 2009.


5. **28th Annual Conference 2009, Indian Council of Chemists**, Organized by Department of Chemistry; Hemchandracharya North Gujarat University, 7th-10th November, 2009. “Synthesis and microbial screening of new 1,2-oxazolyl-6-iodoquinazolin-4(3H)ones of 2-[(2,6-dichlorophenyl)amino] phenyl acetic acid”

6. **Indian Chemical Society Vadodara Chapter** Organized by Department of Chemistry; M. S. University Baroda, 31st January, 2010. “Synthesis and in vitro studies of New 1,3-oxazolyl-quinazolin-4(3H)ones”

8. 15th Indian Society of Chemists & Biologists,
Organized by Department of Chemistry; Saurashtra University, Rajkot, 4th-7th February 2011. “Synthesis of 1,3,4-oxadiazole from quinoxaline and evaluation of their antimicrobial and antitubercular activities”

Workshop and seminar
1. Two Day state level Workshop on “symmetry, group theory and spectroscopy”
Organized by Department of Chemistry; Navyug Science College, Surat, 26th-27th September, 2009.
2. One day seminar on “Recent Trend on Nanomaterials and Their Applications”
Organized by Department of Chemistry; Veer Narmad South Gujarat University, Surat, 14th December, 2009.
3. One day state level seminar on “Emerging Trends in Organic Chemistry”

Paper publications
1. “Synthesis and biological studies of new 1,3-thiazolyl-quinazolin-4(3H)ones of 2-[2-(2,6-dichlorophenyl)amino]phenyl acetic acid”
2. “Synthesis and microbial studies of novel 1,3-thiazolyl-quinazolin-4(3H)ones”
3. “New 1,3-thiazolyl-7-chloroquinazolin-4(3H)ones as antimicrobial agents”
4. “Synthesis and biological activity of some new 1,3-thiazolyl-6-bromoquinazolin-4(3H)ones”
5. “Sulfonamides of 2-[(2,6-dichlorophenyl)amino]phenyl acetoxy acetic acid and their antibacterial studies”
6. “Synthesis and antibacterial activity study of 2-[(2,6-dichlorophenyl amino)phenyl]-acetic acid derivatives with various sulfonamides”


9. “Piperazine and thiourea containing analogs of phenyl acetic acid: Synthesis and their antimicrobial activity”


11. Medicinal Chemistry Research. (Communicated)
“Synthesis and antimicrobial evaluation of quinoxaline containing thiazolidin-4-one derivatives”

12. Journal of Heterocyclic Chemistry (Communicated)
“Synthesis and biological screening of some new quinoxaline-azetidinones moieties”

13. Archive der Pharmazie Chemistry in Life Sciences (Communicated)
“Quinoxaline based 1,3,4-oxadiazole: Synthesis and their antimicrobial and antitubercular activities”