The thesis entitled **Synthesis and characterization of certain biologically active new heterocyclic compounds** exclusively devoted to the study of heterocyclic compounds. The thesis describes the significance of heterocycles along with the biological activity of some new heterocyclic compounds derived from **phenothiazine**, **5-nitroindazole** and **isonicotinamide** nuclei.

The thesis has been divided into five chapters. Each chapter has its own significance with regards to the characterization and explanation of the subject concern.

**Chapter-1**

This chapter has been divided into eight sections: **1.1** to **1.8** respectively.

**Section-1.1**

This section includes several aspects related to heterocyclic compounds and significance of heterocyclic ring containing antibiotics such as \( \beta \)-Lactam, Glycopeptides, Quinolone, Macrolide and Sulfonamide, Vitamins, Anticonvulsants, Non-steroidal anti-inflammatory agents, Anthelmintics, Antiprotozoals, Anti-histamine, Diuretics, Agrochemicals etc.

**Section-1.2**

This section includes a general description, synthesis and biological significance of phenothiazine and their related derivatives. Phenothiazine and its related derivatives possess a wide range of biological activities such as antibacterial, antifungal, anti-inflammatory, antimalarial, antitubercular, anticonvulsant, analgesic, antihelminthic, antioxidant etc.

**Section-1.3**

This section includes a general description, synthesis and biological significance of indazole and their related derivatives. Indazole and its related derivatives possess a wide range of biological activities such as antibacterial, antifungal, anti-trypanosoma cruzi, antimalarial, antitubercular, antitumor, cytotoxic, antispermatogenic, antinociceptive etc.
Section-1.4

This section includes a general description, synthesis and biological significance of pyridine and their related derivatives. Pyridine, a heterocyclic nucleus played a vital role in the development of different medicinal agents and in the field of agrochemicals. Pyridine derivatives possess various types of biological activities such as antibacterial, antifungal, antitubercular, pesticidal, insecticidal, cytotoxic, antidyslipidemic, antioxidant, anticonvulsant etc.

Section-1.5

This section includes a brief description of the literature survey of 2-azetidinone. The synthesis and biological significance of 2-azetidinone and their related derivatives have also been described in this section. 2-Azetidinone skeleton is well established as the key pharmacophore of β-Lactam antibiotics, the most widely employed class of antibacterial agents. In addition to the above mentioned activity, β-Lactam antibiotics also displayed antifungal, anti-inflammatory, antiperkinsonian, analgesic, cytotoxic antitubercular, anticonvulsant, etc activities.

Section-1.6

This section includes synthesis and biological significance of 4-thiazolidinones and their related derivatives. Thiazolidinones are derivatives of thiazolidine and they also constitute an important group of heterocyclic compounds. Thiazolidinones, with a carbonyl group in position 4, has been subject of extensive study in the recent past and literature survey shows that 4-thiazolidinones and its derivatives are important compounds due to their broad range of biological activities such as antibacterial, antifungal, anti-inflammatory, coronary dilator, muscle relaxant, antitubercular, anticonvulsant, anthelmintic, antihypertensive, analgesic, antiproliferative, anti-HIV, antiperkinsonian, antihistaminic, antioxidant, antiviral etc.

Section-1.7

This section includes a brief description of Microwave assisted synthesis and its significance in the formation of heterocyclic compounds.
Section-1.8

This section describes the aim and work plan of the research work. There are three main object of the research plan.

1. Synthesis of new heterocyclic compounds.
2. Characterization of the compounds by chemical methods, microanalytical data and spectral techniques.
3. Evaluation of the biological activity of the synthesized products viz.
   (a) Antibacterial activity and
   (b) Antifungal activity.

Eleven series of the compounds have been synthesized by following Schemes 1, 2 and 3 respectively.

Scheme-1

Series-1: 4-Arylideneamino-3-mercapto-5-[(phenothiazin-10-yl)methyl]-1,2,4-triazoles.
Series-2: 2-Aryl-3-(3-mercapto-5-phenothiazin-10-ylmethyl-[1,2,4]-triazol-4-yl)-thiazolidin-4-ones.
Series-3: 5-Arylidene-2-aryl-3-(3-mercapto-5-phenothiazin-10-ylmethyl-[1,2,4]-triazol-4-yl)-thiazolidin-4-ones.

Scheme-2

Series-4: N-(arylidene amino acetamidyl)-5-nitroindazoles.
Series-5: N-[3-chloro-2-oxo-4-substituted aryl-azetidine)-acetamidyl]-5-nitroindazoles.
Series-6: N-[4-oxo-2-substituted aryl-1,3-thiazolidine)-acetamidyl]-5-nitroindazoles.
Series-7: N-[4-oxo-5-substituted arylidene-2-substituted aryl-1,3-thiazolidine)-acetamidyl]-5-nitroindazoles.

Scheme-3

Series-8: 2-(isonicotinamid-4-yl) acetylhydrazino arylidenes.
Series-9: 4-Aryl-3-chloro-1-[(isonicotinamid-4-yl) acetamido]-2-oxo-azetidines.
Series-10: 2-Aryl-3-[isonicotinamid-4-yl] acetamido]-4-oxo-1,3-thiazolidines.
Series-11: 5-Arylidene-2-aryl-3-[isonicotinamid-4-yl] acetamido]-4-oxo-1,3-thiazolidines.
CHAPTER-2:

This chapter has been divided into six sections: 2.1 to 2.6 respectively.

Section-2.1: Conventional and microwave assisted synthesis of the compounds of series-1: 4-arylideneamino-3-mercapto-5-[(phenothiazin-10-yl) methyl]-1,2,4-triazoles (AU-01 to AU-05).

A brief description of the synthesis of the compounds is given below (Scheme-1).

Phenothiazine on reaction with ethyl chloroacetate yielded ethyl (phenothiazin-10-yl) acetate, compound 1. The compound 1 on reaction with hydrazine hydrate yielded 2-(phenothiazin-10-yl) acetohydrazide, compound 2. The compound 2 on reaction with CS₂ in the presence of ethanolic potassium hydroxide yielded 4-amino-3-mercapto-5-[(phenothiazin-10-yl) methyl]-1,3,4-oxadiazole, compound 3. The compound 3 on further treatment with hydrazine hydrate yielded 4-amino-3-mercapto-5-[(phenothiazin-10-yl) methyl]-1,2,4-triazole, compound 4. The compound 4 on reaction with various aromatic aldehydes afforded new heterocyclic products 4-arylideneamino-3-mercapto-5-[(phenothiazin-10-yl) methyl]-1,2,4-triazoles, compounds AU-01 to AU-05.

Section-2.2: Characterization of the compounds of series-1 (AU-01 to AU-05).

The synthesized compounds AU-01 to AU-05 were characterized by various methods such as Thin layer chromatography, Melting point, Elemental analysis and Spectral data (IR, ¹HNMR, ¹³CNMR and Mass). All the melting points were taken in open capillary tubes. Formation of the compounds was routinely checked by TLC using silica gel ‘G’ and the spots were exposed to iodine vapours for visualization. IR spectra were recorded on Shimadzu 8201 PC spectrophotometer. The ¹HNMR spectra were recorded at 300 and 400 MHz and ¹³CNMR spectra were recorded at 100 MHz on Bruker DRX-300 in CDCl₃ using TMS as an internal standard on δ scale. The FAB mass spectra were recorded on a Jeol SX 102 mass spectrometer. Microwave assisted reactions were carried out in Microwave oven (Bajaj 2100 ETC, 800W, 2450 MHz). Elemental analysis were performed on a Carlo Erba-1108 analyser. All the compounds gave satisfactory C, H and N percentage within the experimental limits. The chemical reagents used in the synthesis were purchased from Merck and Sigma - Aldrich and purified by either distillation or recrystallization before use.
Abstract

SCHEME-1

Ar = Ar₁ = Various substituted aryl groups
The following structures have been assigned for the synthesized compounds AU-01 to AU-05.

\[
\begin{array}{ccc}
\text{N} & \text{S} & \text{CH}_2 \\
\text{NN} & \text{N} & \text{SH} \\
\end{array}
\]

\[\text{Ar} = \text{Various substituted aryl groups}\]

Table 1: List of the synthesized compounds under series-1.

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-01</td>
<td>C_6H_5</td>
<td>C_{22}H_{17}N_5S_2</td>
</tr>
<tr>
<td>AU-02</td>
<td>2-ClC_6H_4</td>
<td>C_{22}H_{16}N_5S_2Cl</td>
</tr>
<tr>
<td>AU-03</td>
<td>2-BrC_6H_4</td>
<td>C_{22}H_{16}N_5S_2Br</td>
</tr>
<tr>
<td>AU-04</td>
<td>2-NO_2C_6H_4</td>
<td>C_{22}H_{16}N_5O_2S_2</td>
</tr>
<tr>
<td>AU-05</td>
<td>2-OCH_3C_6H_4</td>
<td>C_{23}H_{19}N_5OS_2</td>
</tr>
</tbody>
</table>

Section-2.3: Conventional and microwave assisted synthesis of the compounds of series-2: 2-aryl-3-(3-mercapto-5-phenothiazin-10-ylmethyl-[1,2,4]-triazol-4-yl)-thiazolidin-4-ones (AU-06 to AU-10).

A Brief description of the synthesis of the compounds AU-06 to AU-10 is given below.

The compound AU-01 on reaction with thioglycolic acid underwent dehydrative annulation in the presence of anhydrous ZnCl_2 to afford 2-phenyl-3-(3-mercapto-5-phenothiazin-10-ylmethyl-[1,2,4]-triazol-4-yl)-thiazolidin-4-one, compound AU-06. Other compounds AU-07 to AU-10 were synthesized from AU-02 to AU-05.
Abstract

Section-2.4: Characterization of the compounds of series-2 (AU-06 to AU-10).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-06 to AU-10.

The following structures have been assigned for the synthesized compounds AU-06 to AU-10.

![Chemical structure]

Ar = Various substituted aryl groups

Table 2: List of the synthesized compounds under series-2.

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-06</td>
<td>C₆H₅</td>
<td>C₂₄H₁₉N₅OS₃</td>
</tr>
<tr>
<td>AU-07</td>
<td>2-ClC₆H₄</td>
<td>C₂₄H₁₉N₅OS₃Cl</td>
</tr>
<tr>
<td>AU-08</td>
<td>2-BrC₆H₄</td>
<td>C₂₄H₁₉N₅OS₃Br</td>
</tr>
<tr>
<td>AU-09</td>
<td>2-NO₂C₆H₄</td>
<td>C₂₄H₁₈N₆O₃S₃</td>
</tr>
<tr>
<td>AU-10</td>
<td>2-OCH₃C₆H₄</td>
<td>C₂₅H₂₁N₅O₂S₃</td>
</tr>
</tbody>
</table>

Section-2.5: Conventional and microwave assisted synthesis of the compounds of series-3: 5-arylidene-2-aryl-3-(3-mercapto-5-phenothiazin-10-ylmethyl-[1,2,4]-triazol-4-yl)-thiazolidin-4-ones (AU-11 to AU-15).

A Brief description of the synthesis of the compounds AU-11 to AU-15 is given below.

The compound AU-06, which on the application of knoevenagel reaction with benzaldehyde gave compound AU-11. Similarly other compounds AU-12 to AU-15 were synthesized by using compounds AU-07 to AU-10 and various selected aromatic aldehydes.
Section-2.6: Characterization of the compounds of series-3 (AU-11 to AU-15).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-11 to AU-15.

The following structures have been assigned for the synthesized compounds AU-11 to AU-15.

\[
\begin{align*}
&\text{Ar = Ar}_1 = \text{Various substituted aryl groups} \\
&\text{Table 3: List of the synthesized compounds under series-3.}
\end{align*}
\]

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Ar(_1)</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-11</td>
<td>C(_6)H(_5)</td>
<td>C(_6)H(_5)</td>
<td>C(<em>{31})H(</em>{23})N(_5)O(_3)S(_3)</td>
</tr>
<tr>
<td>AU-12</td>
<td>2-ClC(_6)H(_4)</td>
<td>2-ClC(_6)H(_4)</td>
<td>C(<em>{31})H(</em>{21})N(_5)O(_3)S(_3)Cl(_2)</td>
</tr>
<tr>
<td>AU-13</td>
<td>2-BrC(_6)H(_4)</td>
<td>2-BrC(_6)H(_4)</td>
<td>C(<em>{31})H(</em>{21})N(_5)O(_3)S(_3)Br(_2)</td>
</tr>
<tr>
<td>AU-14</td>
<td>2-NO(_2)C(_6)H(_4)</td>
<td>2-NO(_2)C(_6)H(_4)</td>
<td>C(<em>{31})H(</em>{21})N(_7)O(_5)S(_3)</td>
</tr>
<tr>
<td>AU-15</td>
<td>2-OCH(_3)C(_6)H(_4)</td>
<td>2-OCH(_3)C(_6)H(_4)</td>
<td>C(<em>{33})H(</em>{27})N(_5)O(_3)S(_3)</td>
</tr>
</tbody>
</table>
CHAPTER-3:

This chapter has been divided into eight sections: 3.1 to 3.8 respectively.

Section-3.1: Conventional and microwave assisted synthesis of the compounds of series-4: N-(arylidene amino acetamidyl)-5-nitroindazoles (AU-16 to AU-20).

A brief description of the synthesis of the compounds is given below (Scheme-2).

5-Nitroindazole on reaction with ethyl chloroacetate yielded N-(ethyl ethanoate)-5-nitroindazole, compound 1. The compound 1 on amination with hydrazine hydrate yielded N-(acetyl hydrazino)-5-nitroindazole, compound 2. The compound 2 on condensation with various aromatic aldehydes yielded N-(arylidene amino acetamidyl)-5-nitroindazoles, compounds AU-16 to AU-20.

Section-3.2: Characterization of the compounds of series-4 (AU-16 to AU-20).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-16 to AU-20.
SCHEME – 2

Ar = Ar₁ = Various substituted aryl groups
The following structures have been assigned for the synthesized compounds AU-16 to AU-20.

![Chemical structure](image)

Ar = Various substituted aryl groups

**Table 4: List of the synthesized compounds under series - 4.**

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-16</td>
<td>C₆H₅</td>
<td>C₁₆H₁₈N₅O₃</td>
</tr>
<tr>
<td>AU-17</td>
<td>4-ClC₆H₄</td>
<td>C₁₆H₁₂N₅O₃Cl</td>
</tr>
<tr>
<td>AU-18</td>
<td>3-BrC₆H₄</td>
<td>C₁₆H₁₂N₅O₃Br</td>
</tr>
<tr>
<td>AU-19</td>
<td>3-NO₂C₆H₄</td>
<td>C₁₆H₁₂N₆O₅</td>
</tr>
<tr>
<td>AU-20</td>
<td>4-CH₃C₆H₄</td>
<td>C₁₇H₁₅N₅O₃</td>
</tr>
</tbody>
</table>

**Section-3.3: Conventional and microwave assisted synthesis of the compounds of series-5: N-[(4-aryl-3-chloro-2-oxo-azetidine) acetamidyl]-5-nitroindazoles (AU-21 to AU-25).**

A brief description of the synthesis of the compounds AU-21 to AU-25 is given below.

The compounds AU-16 on reaction with chloroacetyl chloride in the presence of triethylamine yielded N-[(4-aryl-3-chloro-2-oxo-azetidine) acetamidyl]-5-nitroindazoles compound AU-21. Similarly other compounds AU-22 to AU-25 were synthesized by using compounds AU-17 to AU-20 respectively.

**Section-3.4: Characterization of the compounds of series-5 (AU-21 to AU-25).**

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-21 to AU-25.
The following structures have been assigned for the synthesized compounds AU-21 to AU-25.

\[
\begin{align*}
\text{Ar} & = \text{Various substituted aryl groups} \\
\text{Table 5: List of the synthesized compounds under series-5.}
\end{align*}
\]

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-21</td>
<td>C(_6)H(_5)</td>
<td>C(<em>{18})H(</em>{14})N(_5)O(_4)Cl</td>
</tr>
<tr>
<td>AU-22</td>
<td>4-ClC(_6)H(_4)</td>
<td>C(<em>{18})H(</em>{13})N(_5)O(_4)Cl(_2)</td>
</tr>
<tr>
<td>AU-23</td>
<td>3-BrC(_6)H(_4)</td>
<td>C(<em>{18})H(</em>{13})N(_5)O(_4)ClBr</td>
</tr>
<tr>
<td>AU-24</td>
<td>3-NO(_2)C(_6)H(_4)</td>
<td>C(<em>{18})H(</em>{13})N(_6)O(_6)Cl</td>
</tr>
<tr>
<td>AU-25</td>
<td>4-CH(_3)C(_6)H(_4)</td>
<td>C(<em>{19})H(</em>{16})N(_5)O(_4)Cl</td>
</tr>
</tbody>
</table>

Section-3.5: Conventional and microwave assisted synthesis of the compounds of series-6: N-[(4-oxo-2-substituted aryl-1,3-thiazolidine)-acetamidyl]-5-nitroindazoles (AU-26 to AU-30).

A brief description of the synthesis of the compounds AU-26 to AU-30 is given below.

The compound AU-16 on reaction with thioglycolic acid underwent dehydrative annulation to afford N-[(4-oxo-2-substituted aryl-1,3-thiazolidine)-acetamidyl]-5-nitroindazoles, compound AU-26. Similarly other compounds AU-27 to AU-30 were synthesized by using compounds AU-17 to AU-20 respectively.

Section-3.6: Characterization of the compounds of series-6 (AU-26 to AU-30).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-26 to AU-30.
The following structures have been assigned for the synthesized compounds AU-26 to AU-30.

Ar = Various substituted aryl groups

Table 6: List of the synthesized compounds under series-6.

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-26</td>
<td>C₆H₅</td>
<td>C₁₈H₁₅N₅O₄S</td>
</tr>
<tr>
<td>AU-27</td>
<td>4-ClC₆H₄</td>
<td>C₁₈H₁₄N₅O₄SCl</td>
</tr>
<tr>
<td>AU-28</td>
<td>3-BrC₆H₄</td>
<td>C₁₈H₁₄N₅O₄SBr</td>
</tr>
<tr>
<td>AU-29</td>
<td>3-NO₂C₆H₄</td>
<td>C₁₈H₁₄N₆O₆S</td>
</tr>
<tr>
<td>AU-30</td>
<td>4-CH₃C₆H₄</td>
<td>C₁₉H₁₇N₅O₄S</td>
</tr>
</tbody>
</table>

Section-3.7: Conventional and microwave assisted synthesis of the compounds of series-7: N-[(4-oxo-5-substituted arylidene-2-substituted aryl-1,3-thiazolidine)-acetamidyl]-5-nitroindazoles (AU-31 to AU-35).

A brief description of the synthesis of the compounds AU-31 to AU-35 is given below.

The compound AU-26, which on the application of Knoevenagel reaction with benzaldehyde gave compound AU-31. Similarly other compounds AU-32 to AU-35 were synthesized by using compounds AU-27 to AU-30 and various selected aromatic aldehydes.

Section-3.8: Characterization of the compounds of series-7 (AU-31 to AU-35).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-31 to AU-35.
The following structures have been assigned for the synthesized compounds **AU-31** to **AU-35**.

\[
\begin{array}{c}
\text{Ar} = \text{Ar}_1 = \text{Various substituted aryl groups}
\end{array}
\]

**Table 7: List of the synthesized compounds under series-7.**

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Ar₁</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-31</td>
<td>C₆H₅</td>
<td>C₆H₅</td>
<td>C₂₅H₁₉N₅O₄S</td>
</tr>
<tr>
<td>AU-32</td>
<td>4-ClC₆H₄</td>
<td>4-ClC₆H₄</td>
<td>C₂₅H₁₇N₅O₄SCl₂</td>
</tr>
<tr>
<td>AU-33</td>
<td>3-BrC₆H₄</td>
<td>3-BrC₆H₄</td>
<td>C₂₅H₁₇N₅O₄SBr₂</td>
</tr>
<tr>
<td>AU-34</td>
<td>3-NO₂C₆H₄</td>
<td>3-NO₂C₆H₄</td>
<td>C₂₅H₁₇N₇O₆S</td>
</tr>
<tr>
<td>AU-35</td>
<td>4-CH₃C₆H₄</td>
<td>4-CH₃C₆H₄</td>
<td>C₂₇H₂₃N₅O₄S</td>
</tr>
</tbody>
</table>
CHAPTER-4:

This chapter has been divided into eight sections: 4.1 to 4.8 respectively.

Section-4.1: Conventional and microwave assisted synthesis of the compounds of series-8: 2-(isonicotinamid-4-yl) acetylhydrazino arylidenes (AU-36 to AU-40).

A brief description of the synthesis of the compounds is given below (Scheme-3).

Isonicotinamide on reaction with ethyl chloroacetate yielded ethyl (isonicotinamid-4-yl) acetate, compound 1. The compound 1 on reaction with hydrazine hydrate yielded 2-(isonicotinamid-4-yl) acetohydrazide, compound 2. The condensation of compound 2 with different aromatic aldehydes afforded 2-(isonicotinamid-4-yl) acetylhydrazino arylidenes, compounds AU-36 to AU-40.

Section-4.2: Characterization of the compounds of series-8 (AU-36 to AU-40).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-36 to AU-40.
Scheme – 3

\[
\begin{align*}
\text{Series - 8 (AU-36 to AU-40)} \\
\text{Series - 9 (AU-41 to AU-45)} \\
\text{Series - 10 (AU-46 to AU-50)} \\
\text{Series - 11 (AU-51 to AU-55)}
\end{align*}
\]

\[\text{Ar = Ar}_1 = \text{Various substituted aryl groups}\]
The following structures have been assigned for the synthesized compounds AU-36 to AU-40.

\[
\text{Ar} = \text{Various substituted aryl groups}
\]

Table 8: List of the synthesized compounds under series - 8.

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-36</td>
<td>C_6H_5</td>
<td>C_{15}H_{14}N_4O_2</td>
</tr>
<tr>
<td>AU-37</td>
<td>4-ClC_6H_4</td>
<td>C_{15}H_{13}N_4O_2Cl</td>
</tr>
<tr>
<td>AU-38</td>
<td>3-BrC_6H_4</td>
<td>C_{15}H_{13}N_4O_2Br</td>
</tr>
<tr>
<td>AU-39</td>
<td>3-NO_2C_6H_4</td>
<td>C_{15}H_{13}N_5O_4</td>
</tr>
<tr>
<td>AU-40</td>
<td>4-CH_3C_6H_4</td>
<td>C_{16}H_{16}N_4O_2</td>
</tr>
</tbody>
</table>

Section-4.3: Conventional and microwave assisted synthesis of the compounds of series-9: 4-aryl-3-chloro-1-[(isonicotinamid-4-yl) acetamido]-2-oxo-azetidines (AU-41 to AU-45).

A brief description of the synthesis of the compounds AU-41 to AU-45 is given below.

The compound AU-36 on reaction with chloroacetyl chloride in the presence of triethylamine yielded 4-phenyl-3-chloro-1-[(isonicotinamid-4-yl) acetamido]-2-oxo-azetidine, compound AU-41. Similarly other compounds AU-42 to AU-45 were synthesized from compounds AU-37 to AU-40 respectively.

Section-4.4: Characterization of the compounds of series-9 (AU-41 to AU-45).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-41 to AU-45.
The following structures have been assigned for the synthesized compounds AU-41 to AU-45.

\[
\text{Ar} = \text{Various substituted aryl groups}
\]

Table 9: List of the synthesized compounds under series-9.

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-41</td>
<td>C\textsubscript{6}H\textsubscript{5}</td>
<td>C\textsubscript{17}H\textsubscript{15}N\textsubscript{4}O\textsubscript{3}Cl</td>
</tr>
<tr>
<td>AU-42</td>
<td>4-ClC\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{17}H\textsubscript{14}N\textsubscript{4}O\textsubscript{3}Cl\textsubscript{2}</td>
</tr>
<tr>
<td>AU-43</td>
<td>3-BrC\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{17}H\textsubscript{14}N\textsubscript{4}O\textsubscript{3}ClBr</td>
</tr>
<tr>
<td>AU-44</td>
<td>3-NO\textsubscript{2}C\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{17}H\textsubscript{14}N\textsubscript{5}O\textsubscript{5}Cl</td>
</tr>
<tr>
<td>AU-45</td>
<td>4-CH\textsubscript{3}C\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{18}H\textsubscript{17}N\textsubscript{4}O\textsubscript{3}Cl</td>
</tr>
</tbody>
</table>

Section-4.5: Conventional and microwave assisted synthesis of the compounds of series-10: 2-aryl-3-[(isonicotinamid-4-yl) acetamido]-4-oxo-1,3-thiazolidines (AU-46 to AU-50).

A brief description of the synthesis of the compounds AU-46 to AU-50 is given below.

The compound AU-36 on reaction with thioglycolic acid underwent dehydrative annulations in the presence of anhydrous ZnCl\textsubscript{2} yielded 2-phenyl-3-[(isonicotinamid-4-yl) acetamido]-4-oxo-1,3-thiazolidines, compound AU-46. Similarly other compounds AU-47 to AU-50 were synthesized from compounds AU-37 to AU-40 respectively.

Section-4.6: Characterization of the compounds of series-10 (AU-46 to AU-50).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-46 to AU-50.
The following structures have been assigned for the synthesized compounds AU-46 to AU-50.

\[
\begin{align*}
\text{Ar} & = \text{Various substituted aryl groups} \\
\text{Table 10: List of the synthesized compounds under series-10.} \\
\begin{array}{|c|c|c|}
\hline
\text{Compound No.} & \text{Ar} & \text{Molecular formula} \\
\hline
\text{AU-46} & \text{C}_6\text{H}_5 & \text{C}_{17}\text{H}_{16}\text{N}_4\text{O}_3\text{S} \\
\text{AU-47} & 4-\text{ClC}_6\text{H}_4 & \text{C}_{17}\text{H}_{15}\text{N}_4\text{O}_3\text{SCl} \\
\text{AU-48} & 3-\text{BrC}_6\text{H}_4 & \text{C}_{17}\text{H}_{15}\text{N}_4\text{O}_3\text{SBr} \\
\text{AU-49} & 3-\text{NO}_2\text{C}_6\text{H}_4 & \text{C}_{17}\text{H}_{15}\text{N}_5\text{O}_5\text{S} \\
\text{AU-50} & 4-\text{CH}_3\text{C}_6\text{H}_4 & \text{C}_{18}\text{H}_{18}\text{N}_4\text{O}_3\text{S} \\
\hline
\end{array}
\end{align*}
\]

Section-4.7: Conventional and microwave assisted synthesis of the compounds of series-11: 5-arylidene-2-aryl-3-[(isonicotinamid-4-yl)acetamido]-4-oxo-1,3-thiazolidines (AU-51 to AU-55).

A brief description of the synthesis of the compounds AU-51 to AU-55 is given below.

The compound AU-46, which on Knoevenagel reaction with benzaldehyde in the presence of C$_2$H$_5$ONa yielded the final products 5-benzyldene-2-phenyl-3-[(isonicotinamid-4-yl)acetamido]-4-oxo-1,3-thiazolidines compound AU-51. Similarly other compounds AU-52 to AU-55 were synthesized from compounds AU-47 to AU-50 respectively.

Section-4.8: Characterization of the compounds of series-11 (AU-51 to AU-55).

A similar method as given under section 2.2 was adopted for the characterization of the compounds AU-51 to AU-55.
The following structures have been assigned for the synthesized compounds AU-51 to AU-55.

\[
\begin{array}{c}
\text{CONHCH}_2\text{CONH}\begin{array}{c}
\text{H} \\
\text{Ar}
\end{array}
\end{array}
\]

\[
\begin{array}{c}
\text{C} \\
\text{H} \\
\text{Ar}_1
\end{array}
\]

\[
\begin{array}{c}
\text{Ar} = \text{Ar}_1 = \text{Various substituted aromatic aldehydes}
\end{array}
\]

Table 11: List of the synthesized compounds under series-11.

<table>
<thead>
<tr>
<th>Compound No.</th>
<th>Ar</th>
<th>Ar₁</th>
<th>Molecular formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AU-51</td>
<td>C₆H₅</td>
<td>C₆H₅</td>
<td>C₂₄H₂₀N₄O₃S</td>
</tr>
<tr>
<td>AU-52</td>
<td>4-ClC₆H₄</td>
<td>4-ClC₆H₄</td>
<td>C₂₄H₁₈N₄O₃SCl₂</td>
</tr>
<tr>
<td>AU-53</td>
<td>3-BrC₆H₄</td>
<td>3-BrC₆H₄</td>
<td>C₂₄H₁₈N₄O₃SBr₂</td>
</tr>
<tr>
<td>AU-54</td>
<td>3-NO₂C₆H₄</td>
<td>3-NO₂C₆H₄</td>
<td>C₂₄H₁₈N₆O₇S</td>
</tr>
<tr>
<td>AU-55</td>
<td>4-CH₃C₆H₄</td>
<td>4-CH₃C₆H₄</td>
<td>C₂₆H₂₄N₄O₃S</td>
</tr>
</tbody>
</table>
CHAPTER-5

This chapter is fully devoted to the third aim of the research work i.e. biological activity such as antibacterial and antifungal activities of the synthesized compounds AU-01 to AU-55. This chapter has been divided into three sections: 5.1 to 5.3 respectively.

Section-5.1 :

This section deals with general description of the pharmacological and biological activities such as antibacterial and antifungal.

Section-5.2 :

This section describes the methods employed during the screening of antibacterial and antifungal activities. This section has been further divided into two sub-sections 5.2.1 and 5.2.2. Each section is devoted to one type of activity.

Sub-section 5.2.1 : Antibacterial activity :

The antibacterial activity of the synthesized compounds AU-01 to AU-55 was determined by filter paper disc technique at 50 and 100 µg/mL concentrations against the following bacteria.

- Bacillus subtilis
- Escherichia coli
- Salmonella typhi.

Streptomycin was used as a standard drug at the same concentrations for comparison.

Sub-section 5.2.2 : Antifungal Activity :

The antifungal activity of the synthesized compounds AU-01 to AU-55 was screened by filter paper disc technique at 50 and 100 µg/mL concentrations against the following selected fungi.
Abstract

- *Aspergillus flavus*
- *Penicillium citrinum* and
- *Fusarium oxysporum*.

Griseofulvin was used as a standard drug at the same concentrations for comparison.

**Section 5.3 :**

This section includes results and discussion of antibacterial and antifungal activities of the synthesized compounds **AU-01** to **AU-55**. Some of the synthesized compounds derived from *phenothiazine*, *5-nitroindazole* and *isonicotinamide* nuclei exhibited pronounced activity.