Abstract

Section 1.3:

This section describes the description of the literature survey and biological importance of carbazole and their related derivatives.

Section 1.4:

This section contains the description of the literature survey and biological important of 1,2,4-oxadiazole and 1,2,4-triazole and their derivatives.

Section 1.5:

This section contains the description of the literature survey and biological importance of azetidinones and their derivatives.

Section 1.6:

This section describes the aim and work plan of the research work. The work plan of the research has been divided into three parts:

Part I: Synthesis of new heterocyclic compounds

Part II: Characterization of the compounds by microanalysis, physical data, chromatography and spectral techniques and

Part III: To evaluate the biological activity of the synthesized products viz.

a. Antibacterial and

b. Antifungal.
Abstract

The thesis entitled **SYNTHESIS OF SOME NEW NITROGEN AND SULPHUR CONTAINING HETEROCYCLES OF BIOLOGICAL SIGNIFICANCE** is exclusively devoted to the study of heterocyclic compounds. The thesis describes the synthesis, characterization and biological activity of some new heterocyclic compounds derived from 1,2,3-benzotriazole and carbazole nuclei as their starting materials. The thesis has been divided into five chapters. Each chapter has its own significance with regards to the explanation of the subject concern.

**CHAPTER 1:**

This chapter has been divided into six sections: **1.1, 1.2, 1.3, 1.4, 1.5 and 1.6** respectively.

**Section 1.1:**

This section highlights several aspects related to the heterocyclic compounds such as definition, their biological significance, uses in industry, agriculture and pharmaceutics.

**Section 1.2:**

This section includes the description of the literature survey and biological importance of 1,2,3-benzotriazole and their related derivatives.
The authoress has synthesized the following series of the compounds according to schemes I, II and III respectively.

**SCHEME I**

Series-1 : 3-(1,2,3-benzotriazolymethyl)-4-substituted aryldene-5-mercapto-1,2,4-triazoles.

Series-2 : 3-(1,2,3-benzotriazolymethyl)-4-(3-chloro-4-substituted aryl-2-oxo-azetidine)-5-mercapto-1,2,4-triazoles.

**SCHEME II**

Series-3 : 3-(N⁹-carbazolymethyl)-4-substituted aryldene-5-mercapto-1,2,4-triazoles.

Series-4 : 3-(N⁹-carbazolymethyl)-4-(3-chloro-4-substituted aryl-2-oxo-azetidine)-5-mercapto-1,2,4-triazoles.

**SCHEME III**

Series-5 : N⁹-(substituted aryldene hydrazinoacetyl)-carbazoles.

Series-6 : N⁹-[hydrazinoacetyl-(3-chloro-4-substituted aryl-2-oxo-azetidine)]-carbazoles.

**CHAPTER 2 :**

This chapter has been divided into four sections: 2.1, 2.2, 2.3 and 2.4 respectively.
Abstract

Sections 2.1: Synthesis of the compounds of series-1: 3-{1,2,3-benzotriazolylmethyl}-4-substituted arylidene-5-mercapto-1,2,4-triazoles (compounds AN-01 to AN-16).

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

Equimolar solution of ethyl chloroacetate and 1,2,3-benzotriazole in methanol was refluxed on a water bath to give ethyl-1,2,3-benzotriazole-1-acetate, 1. The compound 1 was refluxed with hydrazine hydrate on a water bath to give 1,2,3-benzotriazole-1-acetic acid hydrazide, 2. The compound 2 was further refluxed with carbon disulphide in the presence of alcoholic potassium hydroxide to afford 2-{1,2,3-benzotriazolylmethyl}-5-mercapto-1,3,4-oxadiazone, 3. The compound 3 was refluxed with hydrazine hydrate on a water bath to yield 3-{1,2,3-benzotriazolylmethyl}-4-amino-5-mercapto-1,2,4-triazole, 4. The compound 4 was further refluxed with various selected aromatic aldehydes to give compounds AN-01 to AN-16.

Section 2.2: Characterization of the compounds AN-01 to AN-16 of the Series-1.

The synthesized compounds AN-01 to AN-16 were characterized by using various methods such as thin layer chromatography, elemental analysis and spectral data. The melting points of the compounds were taken in an open capillary tube method. IR spectra were recorded in KBr disc on Shimadzu 8201, PC spectrophotometer ($\nu_{\text{max}}$ in cm$^{-1}$), $^1$H NMR spectra in CDCl$_3$ at 300 MHz on Bruker DRX-300 spectrometer using TMS as an internal standard (chemical shift in $\delta$, ppm) and mass spectrum on Jeol SX-102 (FAB) spectrometer.


\[ \text{SCHEME-I} \]

\[ \text{H} \]

\[ \text{CICH}_2\text{COOC}_2\text{H}_5 \]

(1)

\[ \text{NH}_2\text{NH}_2\cdot\text{H}_2\text{O} \]

(2)

\[ \text{CH}_2\text{CONHNH}_2 \]

\[ \text{CS}_2\cdot\text{KOH} \]

(3)

\[ \text{NH}_2\text{NH}_2\cdot\text{H}_2\text{O} \]

(4)

\[ \text{NH}_2\text{NH}_2\cdot\text{H}_2\text{O} \]

(5)

\[ \text{ClCOCOCH}_2\text{Cl} \]

(6)

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

Series-1
AN-01 TO AN-16

Series-2
AN-17 TO AN-32

Department of Chemistry, Dr. H.S. Gour University, Sagar (M.P.)
The following structures have been assigned for the synthesized compounds AN-01 to AN-16 (Table-1) under series-1.

![Chemical Structure]

Compounds AN-01 to AN-16

**Table-1**

<table>
<thead>
<tr>
<th>Comp. No.</th>
<th>Compound name</th>
<th>Ar</th>
<th>Molecular Formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AN-01</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4-benzylidene-5-mercapto-1,2,4-triazole.</td>
<td>C₆H₅</td>
<td>C₁₆H₁₃N₇S</td>
</tr>
<tr>
<td>AN-02</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4-(2-chlorobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>2-ClC₆H₄</td>
<td>C₁₆H₁₂N₇SCl</td>
</tr>
<tr>
<td>AN-03</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4-(3-chlorobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>3-ClC₆H₄</td>
<td>C₁₆H₁₂N₇SCl</td>
</tr>
<tr>
<td>AN-04</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4-(4-chlorobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>4-ClC₆H₄</td>
<td>C₁₆H₁₂N₇SCl</td>
</tr>
<tr>
<td>AN-05</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4-(2-bromobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>2-BrC₆H₄</td>
<td>C₁₆H₁₂N₇SBr</td>
</tr>
<tr>
<td>AN-06</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4-(3-bromobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>3-BrC₆H₄</td>
<td>C₁₆H₁₂N₇SBr</td>
</tr>
<tr>
<td>AN-07</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(4-bromobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>4-BrC₆H₄</td>
<td>C₁₆H₁₂N₇SBr</td>
</tr>
<tr>
<td>AN-08</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(2-nitrobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>2-NO₂C₆H₄</td>
<td>C₁₆H₁₂N₈O₂S</td>
</tr>
<tr>
<td>AN-09</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(3-nitrobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>3-NO₂C₆H₄</td>
<td>C₁₆H₁₂N₈O₂S</td>
</tr>
<tr>
<td>AN-10</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(4-nitrobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>4-NO₂C₆H₄</td>
<td>C₁₆H₁₂N₈O₂S</td>
</tr>
<tr>
<td>AN-11</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(2-methoxybenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>2-OCH₃C₆H₄</td>
<td>C₁₇H₁₅N₇OS</td>
</tr>
<tr>
<td>AN-12</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(3-methoxybenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>3-OCH₃C₆H₄</td>
<td>C₁₇H₁₅N₇OS</td>
</tr>
<tr>
<td>AN-13</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(4-methoxybenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>4-OCH₃C₆H₄</td>
<td>C₁₇H₁₅N₇OS</td>
</tr>
<tr>
<td>AN-14</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(2-methylbenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>2-CH₃C₆H₄</td>
<td>C₁₇H₁₅N₇S</td>
</tr>
<tr>
<td>AN-15</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(3-methylbenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>3-CH₃C₆H₄</td>
<td>C₁₇H₁₅N₇S</td>
</tr>
<tr>
<td>AN-16</td>
<td>3-(1,2,3-benzotriazolymethyl)-4-(4-methylbenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>4-CH₃C₆H₄</td>
<td>C₁₇H₁₅N₇S</td>
</tr>
</tbody>
</table>
Section 2.3: Synthesis of the compounds of series-2: 3-(1,2,3-benzotriazolylmethyl)-4-(3-chloro-4-substituted aryl-2-oxo-azetidine)-5-mercapto-1,2,4-triazoles (compounds AN-17 to AN-32).

A brief description of the synthesis of the compounds AN-17 to AN-32 is given below.

To a stirred solution of 3-(1,2,3-benzotriazolylmethyl)-4-benzylidene-5-mercapto-1,2,4-triazole (AN-01) and triethylamine in methanol, chloroacetyl chloride was added dropwise to give compound AN-17. Other compounds AN-18 to AN-32 were synthesized similarly from AN-02 to AN-16 respectively.

Section 2.4: Characterization of the compounds AN-17 to AN-32 of the series-2.

A similar method as given under section 2.2 was adopted for the characterization of the compounds AN-17 to AN-32.
The following structures have been assigned for the synthesized compounds AN-17 to AN-32 (Table-2) under series-2.

![Compounds AN-17 to AN-32](image)

**Table-2**

<table>
<thead>
<tr>
<th>Comp. No.</th>
<th>Compound name</th>
<th>Ar</th>
<th>Moleculer Formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AN-17</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4- [3-chloro-4-(phenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>C₆H₅</td>
<td>C₁₈H₁₄N₇OSCl</td>
</tr>
<tr>
<td>AN-18</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4- [3-chloro-4-(2-chlorophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>2-ClC₆H₄</td>
<td>C₁₈H₁₃N₇OSCl₂</td>
</tr>
<tr>
<td>AN-19</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4- [3-chloro-4-(3-chlorophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>3-ClC₆H₄</td>
<td>C₁₈H₁₃N₇OSCl₂</td>
</tr>
<tr>
<td>AN-20</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4- [3-chloro-4-(4-chlorophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>4-ClC₆H₄</td>
<td>C₁₈H₁₃N₇OSCl₂</td>
</tr>
<tr>
<td>AN-21</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4- [3-chloro-4-(2-bromophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>2-BrC₆H₄</td>
<td>C₁₈H₁₃N₇OSClBr</td>
</tr>
<tr>
<td>AN-22</td>
<td>3-(1,2,3-benzotriazolylmethyl)-4- [3-chloro-4-(3-bromophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>3-BrC₆H₄</td>
<td>C₁₈H₁₃N₇OSClBr</td>
</tr>
<tr>
<td>AN-23</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(4-bromophenyl)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>4-BrC₆H₄</td>
<td>C₁₈H₁₃N₇OSClBr</td>
</tr>
<tr>
<td>AN-24</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(2-nitrophenoxy)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>2-NO₂C₆H₄</td>
<td>C₁₈H₁₃N₆O₢SCl</td>
</tr>
<tr>
<td>AN-25</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(3-nitrophenoxy)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>3-NO₂C₆H₄</td>
<td>C₁₈H₁₃N₆O₢SCl</td>
</tr>
<tr>
<td>AN-26</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(4-nitrophenoxy)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>4-NO₂C₆H₄</td>
<td>C₁₈H₁₃N₆O₢SCl</td>
</tr>
<tr>
<td>AN-27</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(2-methoxyphenyl)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>2-OCH₃C₆H₄</td>
<td>C₁₉H₁₆N₇O₂SCl</td>
</tr>
<tr>
<td>AN-28</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(3-methoxyphenyl)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>3-OCH₃C₆H₄</td>
<td>C₁₉H₁₆N₇O₂SCl</td>
</tr>
<tr>
<td>AN-29</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(4-methoxyphenyl)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>4-OCH₃C₆H₄</td>
<td>C₁₉H₁₆N₇O₂SCl</td>
</tr>
<tr>
<td>AN-30</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(2-methylphenyl)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>2-CH₃C₆H₄</td>
<td>C₁₉H₁₆N₇OSCl</td>
</tr>
<tr>
<td>AN-31</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(3-methylphenyl)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>3-CH₃C₆H₄</td>
<td>C₁₉H₁₆N₇OSCl</td>
</tr>
<tr>
<td>AN-32</td>
<td>3-{1,2,3-benzotriazolylmethyl}-4-[3-chloro-4-(4-methylphenyl)-2-oxo-azetidin]-5-mercapto-1,2,4-triazole</td>
<td>4-CH₃C₆H₄</td>
<td>C₁₉H₁₆N₇OSCl</td>
</tr>
</tbody>
</table>
CHAPTER 3 :

This chapter has been divided into four sections: 3.1, 3.2, 3.3 and 3.4 respectively.

Section 3.1 : Synthesis of the compounds of series-3 : 3-\((N^9\)-carbazolylmethyl\)-4-substituted arylidene-5-mercapto-1,2,4-triazoles (compounds AN-33 to AN-42).

Brief descriptions of the synthesis of the compounds are given below (Scheme-II).

Equimolar solution of ethyl chloroacetate and a solution of carbazole in acetone was refluxed on a water bath to give \(N^9\)-ethyl ethanoate-carbazole, 1. The compound 1 was refluxed with hydrazine hydrate on a water bath to afford \(N^9\)-carbazole-1-acetic acid hydrazide, 2. The compound 2 was refluxed with carbon disulphide in presence of alcoholic potassium hydroxide to give 2-\((N^9\)-carbazolylmethyl\)-5-mercapto-1,3,4-oxadiazole, 3. The compound 3 was refluxed with hydrazine hydrate on a water bath to give 3-\((N^9\)-carbazolylmethyl\)-4-amino-5-mercapto-1,2,4-triazole, 4. The compound 4 was further refluxed with various selected aromatic aldehydes to afford compounds AN-33 to AN-42.

Section 3.2 : Characterization of the compounds AN-33 to AN-42 of the series-3.

A similar method as given under section 2.2 was adopted for the characterization of the compounds AN-33 to AN-42.
SCHEME-II

1. \( \text{N} \) \( \text{ClCH}_2\text{COOC}_2\text{H}_5 \)
2. \( \text{N} \) \( \text{CH}_2\text{COOC}_2\text{H}_5 \) \( \text{NH}_2\text{NH}_2\cdot\text{H}_2\text{O} \)
3. \( \text{N} \) \( \text{CH}_2\text{CONHNH}_2 \) \( \text{CS}_2\text{KOH} \)
4. \( \text{CH}_2 \) \( \text{NH}_2\text{NH}_2\cdot\text{H}_2\text{O} \)
5. \( \text{O} = \text{C} \) \( \text{Ar} \) \( \text{NH}_2 \)
6. \( \text{Ar} = \text{Various substituted aryl groups} \)

Series-3
AN-33 to AN-42

Series-4
AN-43 to AN-52
The following structures have been assigned for the synthesized compounds AN-33 to AN-42 (Table-3) under series-3.

**Table-3**

<table>
<thead>
<tr>
<th>Comp. No.</th>
<th>Compound name</th>
<th>Ar</th>
<th>Molecule Formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AN-33</td>
<td>3-(N⁹-carbazolylmethyl)-4-benzylidene-5-mercapto-1,2,4-triazole.</td>
<td>C₆H₅</td>
<td>C₂₂H₁₇N₅S</td>
</tr>
<tr>
<td>AN-34</td>
<td>3-(N⁹-carbazolylmethyl)-4-(2-chlorobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>2-ClC₆H₄</td>
<td>C₂₂H₁₆N₅SCl</td>
</tr>
<tr>
<td>AN-35</td>
<td>3-(N⁹-carbazolylmethyl)-4-(3-chlorobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>3-ClC₆H₄</td>
<td>C₂₂H₁₆N₅SCl</td>
</tr>
<tr>
<td>AN-36</td>
<td>3-(N⁹-carbazolylmethyl)-4-(4-chlorobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>4-ClC₆H₄</td>
<td>C₂₂H₁₆N₅SCl</td>
</tr>
<tr>
<td>AN-37</td>
<td>3-(N⁹-carbazolylmethyl)-4-(2-bromobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>2-BrC₆H₄</td>
<td>C₂₂H₁₆N₅SBr</td>
</tr>
<tr>
<td>AN-38</td>
<td>3-(N⁹-carbazolylmethyl)-4-(3-bromobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>3-BrC₆H₄</td>
<td>C₂₂H₁₆N₅SBr</td>
</tr>
<tr>
<td>AN-39</td>
<td>3-(N⁹-carbazolylmethyl)-4-(4-bromobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>4-BrC₆H₄</td>
<td>C₂₂H₁₆N₅SBr</td>
</tr>
<tr>
<td>AN-40</td>
<td>3-(N⁹-carbazolylmethyl)-4-(2-nitrobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>2-NO₂C₆H₄</td>
<td>C₂₂H₁₆N₆SO₂</td>
</tr>
<tr>
<td>AN-41</td>
<td>3-(N⁹-carbazolylmethyl)-4-(3-nitrobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>3-NO₂C₆H₄</td>
<td>C₂₂H₁₆N₆SO₂</td>
</tr>
<tr>
<td>AN-42</td>
<td>3-(N⁹-carbazolylmethyl)-4-(4-nitrobenzylidene)-5-mercapto-1,2,4-triazole.</td>
<td>4-NO₂C₆H₄</td>
<td>C₂₂H₁₆N₆SO₂</td>
</tr>
</tbody>
</table>
Abstract

Section 3.3 : Synthesis of the compounds of series-4 : 3-(N⁹-carbazolylmethyl)-4-(3-chloro-4-substituted aryl-2-oxo-azetidine)-5-mercapto-1,2,4-triazoles (compounds AN-43 to AN-52).

A brief description of the synthesis of the compounds AN-43 to AN-52 is given below.

To a stirred solution of 3-(N⁹-carbazolylmethyl)-4-benzylidene-5-mercapto-1,2,4-triazole (AN-33) and triethylamine in methanol, chloroacetyl chloride was added dropwise to give compound AN-43. Other compounds AN-44 to AN-52 were synthesized similarly from AN-34 to AN-42 respectively.

Section 3.4 : Characterization of the compounds AN-43 to AN-52 of the series-4.

A similar procedure as given under section 2.2 was adopted for the characterization of the compounds AN-43 to AN-52.

The following structures have been assigned for the synthesize compounds AN-43 to AN-52 (Table-4) under series-4.

![Compounds AN-43 to AN-52](image-url)
### Table 4

<table>
<thead>
<tr>
<th>Comp. No.</th>
<th>Compound name</th>
<th>Ar</th>
<th>Molecule Formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AN-43</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(phenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>C⁶H⁵</td>
<td>C₂₄H₁₈N₅OSCl</td>
</tr>
<tr>
<td>AN-44</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(2-chlorophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>2-CIC⁶H₄</td>
<td>C₂₄H₁₇N₅OSCl₂</td>
</tr>
<tr>
<td>AN-45</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(3-chlorophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>3-CIC⁶H₄</td>
<td>C₂₄H₁₇N₅OSCl₂</td>
</tr>
<tr>
<td>AN-46</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(4-chlorophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>4-CIC⁶H₄</td>
<td>C₂₄H₁₇N₅OSCl₂</td>
</tr>
<tr>
<td>AN-47</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(2-bromophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>2-BrC⁶H₄</td>
<td>C₂₄H₁₇N₅OSClBr</td>
</tr>
<tr>
<td>AN-48</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(3-bromophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>3-BrC⁶H₄</td>
<td>C₂₄H₁₇N₅OSClBr</td>
</tr>
<tr>
<td>AN-49</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(4-bromophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>4-BrC⁶H₄</td>
<td>C₂₄H₁₇N₅OSClBr</td>
</tr>
<tr>
<td>AN-50</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(2-nitrophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>2-NO₂C⁶H₄</td>
<td>C₂₄H₁₇N₆O₃SCl</td>
</tr>
<tr>
<td>AN-51</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(3-nitrophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>3-NO₂C⁶H₄</td>
<td>C₂₄H₁₇N₆O₃SCl</td>
</tr>
<tr>
<td>AN-52</td>
<td>3-(N⁹-carbazolylmethyl)-4-[3-chloro-4-(4-nitrophenyl)-2-oxo-azetidine]-5-mercapto-1,2,4-triazole.</td>
<td>4-NO₂C⁶H₄</td>
<td>C₂₄H₁₇N₆O₃SCl</td>
</tr>
</tbody>
</table>
CHAPTER 4:

This chapter has been divided into four sections: 4.1, 4.2, 4.3 and 4.4 respectively.

Section 4.1: Synthesis of the compounds of series-5: N\textsuperscript{9}-substituted arylidene hydrazinoacetyl)-carbazoles (compounds AN-53 to AN-62).

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

Equimolar solution of chloroacetyl chloride and a solution of carbazole in acetone was added and the reaction mixture was refluxed on a water bath to give N\textsuperscript{9}-(chloroacetyl)-carbazole, 1. The compound 1 was refluxed with hydrazine hydrate on a water bath to afford N\textsuperscript{9}-(hydrazinoacetyl)-carbazole, 2. The compound 2 was refluxed with various selected aromatic aldehydes to give compounds AN-53 to AN-62.

Section 4.2: Characterization of the compounds AN-53 to AN-62 of the series-5.

A similar method as given under section 2.2 was adopted for the characterization of compounds AN-53 to AN-62.
SCHEME-III

1. 
\[ \text{ClCOCH}_2\text{Cl} \]

2. 
\[ \text{COCH}_2\text{Cl} \]

3. 
\[ \text{NH}_2\text{NH}_2 \cdot \text{H}_2\text{O} \]

(2) 
\[ \text{COCH}_2\text{NHNH}_2 \]

(3) 
Series-5
AN-53 to AN-62

(4) 
\[ \text{SHCH}_2\text{COOH} \]

Ar = Various substituted aryl groups
The following structures have been assigned for the synthesized compounds AN-53 to AN-62 (Table-5) under series-5.

![Chemical structure](image)

Compounds AN-53 to AN-62

<table>
<thead>
<tr>
<th>Comp. No.</th>
<th>Compound name</th>
<th>Ar</th>
<th>Molecular Formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AN-53</td>
<td>N⁹-(benzylidene hydrazinoacetyl)-carbazole.</td>
<td>C₆H₅</td>
<td>C₂₁H₁₇N₃O</td>
</tr>
<tr>
<td>AN-54</td>
<td>N⁹-(2-chlorobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>2-ClC₆H₄</td>
<td>C₂₁H₁₆N₃OCl</td>
</tr>
<tr>
<td>AN-55</td>
<td>N⁹-(3-chlorobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>3-ClC₆H₄</td>
<td>C₂₁H₁₆N₃OCl</td>
</tr>
<tr>
<td>AN-56</td>
<td>N⁹-(4-chlorobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>4-ClC₆H₄</td>
<td>C₂₁H₁₆N₃OCl</td>
</tr>
<tr>
<td>AN-57</td>
<td>N⁹-(2-bromobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>2-BrC₆H₄</td>
<td>C₂₁H₁₆N₃OBr</td>
</tr>
<tr>
<td>AN-58</td>
<td>N⁹-(3-bromobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>3-BrC₆H₄</td>
<td>C₂₁H₁₆N₃OBr</td>
</tr>
<tr>
<td>AN-59</td>
<td>N⁹-(4-bromobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>4-BrC₆H₄</td>
<td>C₂₁H₁₆N₃OBr</td>
</tr>
<tr>
<td>AN-60</td>
<td>N⁹-(2-nitrobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>2-NO₂C₆H₄</td>
<td>C₂₁H₁₆N₄O₃</td>
</tr>
<tr>
<td>AN-61</td>
<td>N⁹-(3-nitrobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>3-NO₂C₆H₄</td>
<td>C₂₁H₁₆N₄O₃</td>
</tr>
<tr>
<td>AN-62</td>
<td>N⁹-(4-nitrobenzylidene hydrazinoacetyl)-carbazole.</td>
<td>4-NO₂C₆H₄</td>
<td>C₂₁H₁₆N₄O₃</td>
</tr>
</tbody>
</table>
Abstract

Section 4.3 : Synthesis of the compounds of series-6 : N⁹-[hydrazinoacetyl-(3-chloro-4-substituted aryl-2-oxo azetidine)]-carbazoles (compounds AN-63 to AN-72).

A brief description of the synthesis of the compounds AN-63 to AN-72 is given below.

To a stirred solution of the N⁹-(benzylidene hydrazinoacetyl)-carbazole (AN-63) and triethylamine in methanol, chloroacetyl chloride was added dropwise to give compound AN-43. Other compounds AN-64 to AN-72 were synthesized similarly from AN-54 to AN-62 respectively.

Section 4.4 : Characterization of the compounds AN-63 to AN-72 of the series-7.

A similar method as given under section 2.2 was adopted for the characterization of the compounds AN-63 to AN-72.

The following structures have been assigned for the synthesized compounds AN-63 to AN-72 (Table-6) under series-6.

![Structural diagram]

Compounds AN-63 to AN-72
<table>
<thead>
<tr>
<th>Comp. No.</th>
<th>Compound name</th>
<th>Ar</th>
<th>Molecule Formula</th>
</tr>
</thead>
<tbody>
<tr>
<td>AN-63</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(phenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>C\textsubscript{6}H\textsubscript{5}</td>
<td>C\textsubscript{23}H\textsubscript{18}N\textsubscript{5}O\textsubscript{2}Cl</td>
</tr>
<tr>
<td>AN-64</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(2-chlorophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>2-ClC\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{3}O\textsubscript{2}Cl\textsubscript{2}</td>
</tr>
<tr>
<td>AN-65</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(3-chlorophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>3-ClC\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{3}O\textsubscript{2}Cl\textsubscript{2}</td>
</tr>
<tr>
<td>AN-66</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(4-chlorophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>4-ClC\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{3}O\textsubscript{2}Cl\textsubscript{2}</td>
</tr>
<tr>
<td>AN-67</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(2-bromophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>2-BrC\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{3}O\textsubscript{2}Cl\textsubscript{Br}</td>
</tr>
<tr>
<td>AN-68</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(3-bromophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>3-BrC\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{3}O\textsubscript{2}Cl\textsubscript{Br}</td>
</tr>
<tr>
<td>AN-69</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(4-bromophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>4-BrC\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{3}O\textsubscript{2}Cl\textsubscript{Br}</td>
</tr>
<tr>
<td>AN-70</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(2-nitrophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>2-NO\textsubscript{2}C\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{4}O\textsubscript{4}Cl</td>
</tr>
<tr>
<td>AN-71</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(3-nitrophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>3-NO\textsubscript{2}C\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{4}O\textsubscript{4}Cl</td>
</tr>
<tr>
<td>AN-72</td>
<td>N\textsuperscript{9}-[hydrazinoacetyl-[3-chloro-4-(4-nitrophenyl)-2-oxo-azetidine]]-carbazole.</td>
<td>4-NO\textsubscript{2}C\textsubscript{6}H\textsubscript{4}</td>
<td>C\textsubscript{23}H\textsubscript{17}N\textsubscript{4}O\textsubscript{4}Cl</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 of the synthesized compounds AN-01 to AN-72. This chapter has been divided into three sections: 5.1, 5.2 and 5.3 respectively.

Section 5.1:

This section includes the general description of pharmacological and biological activities which describes the drug discovery, application of β-lactam ring antibiotics and various azoles as useful antifungal agents.

Section 5.2:

This section describes the methods employed for 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 AN-01 to AN-72 was determined by filter paper disc technique at 50 and 100 ppm concentrations against the following bacteria.

- Bacillus subtilis
- Escherichia coli
- Klebsiella pneumoniae and
- Staphylococcus aureus

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

Sub section 5.2.2 : Antifungal activity :

The antifungal activity of the synthesized compounds AN-01 to AN-72 was screened by filter paper disc method at 100 and 500 ppm concentrations against the following selected fungi.

- *Aspergillus niger*
- *Aspergillus flavus*
- *Fusarium oxysporium* and
- *Tricoderma viride*

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

Section 5.3 :

This section includes the results and conclusion of the screening of antibacterial and antifungal activity of the synthesized compounds AN-01 to AN-72. Some of the synthetic products derived from 1,2,3-benzotriazole and carbazole nuclei exhibited pronounced biological activity.