CHAPTER IV

SECTION I

SYNTHESIS OF SOME N-[2-(PHENOXY, BROMOPHENOXY, CHLOROPHENOXY, NITROPHENOXY, METHYLPHENOXY) ACETYL/PROPIONYL] BENZOTRIAZOLES AND

SYNTHESIS OF N-[2-(1-NAPHTHOXY, 2-NAPHTHOXY) ACETYLL] BENZOTRIAZOLES
Benzotriazole exists in two tautomeric forms - I and II respectively.

(I) \[ \begin{array}{c}
N \\
H
\end{array} \] \[ \rightleftharpoons \] \[ \begin{array}{c}
N \\
N-H
\end{array} \] (II)

There is a lone pair on each of the tertiary nitrogen atoms which leads to the basic properties of the rings.

In general, the substances having a free NH group are mixture of tautomers, the position of the proton in the dominant isomer is generally unknown, but spectroscopic comparison with alkylated compounds can sometimes be used to advantage. The triazoles are readily alkylated and acylated. Methylation of 1,2,3-triazole with either diazomethane or dimethyl sulphate in alkaline solution gives a mixture of 1 and 2-methyl 1,2,3-triazoles. Alkylation of 1-substituted 1,2,3-triazoles appears to lead to the 1,3-disubstituted compounds.

Halogenation of the 1,2,3-triazole ring occurs readily, both in derivatives of 1H and 2H series. In alkaline solution, hypobromite gives N. 4,5-tribromo-1,2,3-triazole. The 1,2,3-triazolium anion may be the reacting species in the reactions with alkali. The position of bromination is proved by curtius degradation
of 4-carboxy-1-methyl 1,2,3-triazole. 2-methyl-1,2,3-triazole reacts more readily and gives 4,5-dibromo derivatives. The ring is still slightly deactivated since 2-phenyl-1,2,3-triazole is brominated and nitrated, first in the p-position of the phenyl group and then in the 4-position of the triazole ring.

Benzotriazole forms 1-ocyl derivatives which preserve the Kekule's resonance of the benzene ring and are therefore more stable than the isomeric 2-derivatives. Triazoles undergo nitration and sulphonation still more difficulty. Halogenation should be easier than the corresponding nitration or sulphonation. The triazole rings are generally stable to oxidation (KMnO₄, CrO₃ etc.) and this is indicative of high aromatic stabilization.

Triazoles behave as a weak acids (nucleophilic attack on the ring NH group). They form metallic salt which are extensively hydrolysed by water. The resulting anions reacts very readily with electrophillic reagents on ring nitrogen.
The compounds were synthesised according to SCHEMES-I and II respectively given on pages 40 & 46. The synthesised compounds have represented by the following structures -

\[
\begin{align*}
\text{Compounds} & \quad \text{Groups associated number} \\
I & : R_1, R_2, R_3, R_4, R_5, R=H \\
II & : R_1, R_2, R_3, R_4, R_5=H; R=CH_3 \\
III & : R_1, R_3, R_5=Br; R_2, R_4, R=H \\
IV & : R_1, R_3, R_5=Br; R_2, R_4, R=H; R=CH_3 \\
V & : R_1, R_3=Br; R_2, R_4, R_5, R=H \\
VI & : R_1, R_3, R_5=Cl; R_2, R_4, R=H \\
VII & : R_1, R_2, R_5=Cl; R_2, R_4, R=H; R=CH_3 \\
VIII & : R_1, R_3=Cl; R_2, R_3, R_5, R=H \\
IX & : R_1, R_4=Cl; R_2, R_3, R_5, R=H \\
X & : R_1=Cl; R_2, R_3, R_4, R_5, R=H \\
XI & : R_3=Cl; R_1, R_2, R_4, R_5, R=H \\
XII & : R_1, R_3, R_5=NO_2; R_2, R_4, R=H \\
XIII & : R_1, R_3, R_5=NO_2; R_2, R_4, R=H; R=CH_3 \\
XIV & : R_1=NO_2; R_2, R_3, R_4, R_5, R=H \\
XV & : R_2=NO_2; R_1, R_3, R_4, R_5, R=H \\
XVI & : R_3=NO_2; R_1, R_2, R_4, R_5, R=H \\
XVII & : R_1=CH_3; R_2, R_3, R_4, R_5, R=H \\
XVIII & : R_2=CH_3; R_1, R_3, R_4, R_5, R=H \\
XIX & : R_3=CH_3; R_1, R_2, R_4, R_5, R=H
\end{align*}
\]

\[
\begin{align*}
XX & \\
XXI &
\end{align*}
\]
SYNTHESIS OF THE COMPOUND-I: N-[2-(PHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of phenol, phenoxy acetic acid and phenoxy acetyl chloride have already been given on page 83.

SYNTHESIS OF N-[2-(PHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml of 4 N NaOH solution. The phenoxy acetyl chloride (in 25 ml ethanol) was added in the above solution with constant stirring (50 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumino using benzene:chloroform (8:2 v/v) mixture as an eluant. Finally, the eluate (200 ml) was concentrated and the product was crystallised from methanolas colourless shining crystals, yield 80%, m.p. 149-151°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-I

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(i) Petroleum ether:benzene (6:4 v/v)  \( R_f \) values
(ii) Benzene:chloroform (9:1 v/v)  0.54

INFRA-RED SPECTRUM OF THE COMPOUND-I

The significant peaks obtained in the IR spectrum of the compound-I alongwith their structural assignments with the help of available literature\textsuperscript{174-177} are given herein:

\[ \text{IR } ^{\text{KBr}}_{\text{max}} : 2900 \text{ and } 1485 \text{ (} \text{-CH}_2\text{)}; 1535 \text{ (} \text{-C-N}<\text{)}; 1595 \text{ (} \text{-N=N}\text{)}; 1460, 1135, 1085, 1040, 760, 735 \text{ and } 685 \text{ (substituted benzene ring); 1250, 1210 and 1150 } \text{(C-O-C)} \text{ respectively.} \]

SYNTHESIS OF THE COMPOUND-II : \( N-[2-(\text{PHENOXY}) \text{ PROPIONYL}] \) BENZOTRIAZOLE

The syntheses of phenol, phenoxy propionic acid and phenoxy propionyl chloride have already been given on page 85.

SYNTHESIS OF \( N-[2-(\text{PHENOXY}) \text{ PROPIONYL}] \) BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml 4 N NaOH solution. The phenoxy propionyl chloride (in 25ml ethanol) was added in the above solution with constant stirring (60 minutes) and
worked as usual (page 39). The product was purified over the column of neutral alumina using chloroform as an eluant. Finally, the eluate (150 ml) was concentrated and the product was crystallised from methanol as colourless shining crystals, yield 64\%, m.p. 130-132\degree.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-II

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.

<table>
<thead>
<tr>
<th>Solvent systems</th>
<th>( R_f ) values</th>
</tr>
</thead>
<tbody>
<tr>
<td>(i) Benzene:chloroform (9:1 v/v)</td>
<td>0.39</td>
</tr>
<tr>
<td>(ii) Benzene:methanol (8:2 v/v)</td>
<td>0.68</td>
</tr>
</tbody>
</table>

INFRA-RED SPECTRUM OF THE COMPOUND-II

The significant peaks obtained in the IR spectrum of the compound-II along with their structural assignments with the help of available literature\textsuperscript{174-177} are given herein:

\(\text{IR}_{\text{max}}^{\text{KBr}}\) : 2925, 1455 and 1375 (C-CH\textsubscript{3}); 1630 (-C-N\textsubscript{<}); 1585 (-N=N); 1495, 1145, 1080, 1050, 775, 740 and 680 (substituted benzene ring); 1240, 1200 and 1155 (C-O-C) respectively.
SYNTHESIS OF THE COMPOUND-III: N-[2-(2,4,6-TRIBROMOPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 2,4,6-tribromophenol, tribromophenoxy acetic acid and tribromophenoxy acetyl chloride have already been given on pages 87-88 respectively.

SYNTHESIS OF N-[2-(2,4,6-TRIBROMOPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml 4 N NaOH solution. The tribromophenoxy acetyl chloride (in 30 ml ethanol) was added in the above solution with constant stirring (45 minutes) and worked up by the same method as given on page 39. The product was purified over the column of neutral alumina using chloroform:ethyl acetate (8:2 v/v) mixture as an eluant. Finally, the eluate (170 ml) was concentrated and the product was crystallised from methanol as colourless needles, yield 70%, m.p. 278-280°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-III

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(i) Benzene:methanol (9:2 v/v)
(ii) Chloroform:ethylacetate (7:3 v/v)

$R_f$ values
0.60
0.68

INFRARED SPECTRUM OF THE COMPOUND-III

The significant peaks obtained in the IR spectrum of the compound-III along with their structural assignments with the help of available literature are given herein:

$\text{IR}_\text{max}^{\text{KBr}}: 2915$ and 1480 ($-\text{CH}_2$); 1640 ($-\text{C-N}$); 1585 ($-\text{N=N}$); 1510, 1150, 1065, 835, 785, 735 and 690 (substituted benzene ring); 1255, 1220 and 1160 (C-O-C) respectively.

SYNTHESIS OF THE COMPOUND-IV: N-[2-(2,4,6-TRIBROMOPHENOXY) PROPIONYL] BENZOTRIAZOLE

The syntheses of 2,4,6-tribromophenol, tribromophenoxy propionic acid and tribromophenoxy propionyl chloride have already been given on pages 90-91 respectively.

SYNTHESIS OF N-[2-(2,4,6-TRIBROMOPHENOXY) PROPIONYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 30 ml of ethanol) in a 500 ml beaker was treated with 5 ml 4 N NaOH solution. The tribromophenoxy
propionyl chloride (in 25 ml ethanol) was added in the above solution with constant stirring (40 minutes) and worked up by the same procedure as given on page 39. The product was purified over the column of neutral alumina using chloroform:methanol (7:3 v/v) as an eluant. Finally, the eluate (140 ml) was concentrated and the product was crystallised from methanol as ash colour crystals, yield 65%, m.p. 235–237°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-IV

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 64 which showed single spot in each case.

<table>
<thead>
<tr>
<th>Solvent systems</th>
<th>Rf values</th>
</tr>
</thead>
<tbody>
<tr>
<td>(i) Benzene:methanol (6:4 v/v)</td>
<td>0.55</td>
</tr>
<tr>
<td>(ii) Chloroform:methanol (6:4 v/v)</td>
<td>0.62</td>
</tr>
</tbody>
</table>

INFRA-RED SPECTRUM OF THE COMPOUND-IV

The significant peaks obtained in the IR spectrum of the compound-IV along with their structural assignments with the help of available literature 174–177 are given herein:

IR\(\nu_{\text{KBr}}\) max: 2925, 1450 and 1375 (C-CH\(_3\)); 1635 (\(-\text{O-N}\)); 1585 (\(-\text{N=N}-\)); 1505, 1140, 1050, 890, 840, 795, 740 and 685 (substituted benzene ring); 1250, 1200 and 1160 (C-O-C) respectively.
SYNTHESIS OF THE COMPOUND-V: N-[2-(2,4-DIBROMOPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 2,4-dibromophenol, dibromophenoxy acetic acid and dibromophenoxy acetyl chloride have already been given on pages 92-94 respectively.

SYNTHESIS OF N-[2-(2,4-DIBROMOPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml 4N NaOH solution. The 2,4-dibromophenoxy acetyl chloride (in 30 ml ethanol) was added in the above solution with constant stirring (60 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using benzene:acetone (3:7 v/v) mixture as an eluant. Finally, the eluate (160 ml) was concentrated and the product was crystallised from acetone as brown needles, yield 68%, m.p. 60-62°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-V

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(1) Chloroform:ethylacetate (5:5 v/v)  \(R_f\) values 0.70
(2) Chloroform:methanol (5:5 v/v)  \(R_f\) 0.79

INFRA-RED SPECTRUM OF THE COMPOUND-V

The significant peaks obtained in the IR spectrum of the compound-V along with their structural assignments with the help of available literature 174-177 are given herein:

\[\text{IR}_{\text{max}}^{\text{KBr}}: 2905 \text{ and } 1485 (-\text{CH}_2); 1640 (-\text{C-N<}); 1600 (-\text{N=N-}); 1495, 1140, 1060, 880, 850, 780, 740 \text{ and } 675\]
(substituted benzene ring); 1235, 1205 and 1170 (C-O-C) respectively.

SYNTHESIS OF THE COMPOUND-VI : N-[2-(2,4,6-TRICHLOROPHENOXY) ACETYL] BENZOTRIAZONE

The synthesis of 2,4,6-trichlorophenol, trichlorophenoxy acetic acid and trichlorophenoxy acetyl chloride have already been given on page 96.

SYNTHESIS OF N-[2-(2,4,6-TRICHLOROPHENOXY) ACETYL] BENZOTRIAZONE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml 4N NaOH solution. The 2,4,6-trichlorophenoxy acetyl chloride (in 30 ml ethanol) was added
in the above solution with constant stirring (45 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using benzene:methanol (8:2 v/v) mixture as an eluant. Finally, the eluate (150 ml) was concentrated and the product was crystallised from methanol as light pink needles, yield 68%, m.p. 168-169°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-VI

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.

<table>
<thead>
<tr>
<th>Solvent systems</th>
<th>Rf values</th>
</tr>
</thead>
<tbody>
<tr>
<td>(i) Benzene:chloroform (2:8 v/v)</td>
<td>0.39</td>
</tr>
<tr>
<td>(ii) Chloroform:methanol (9:1 v/v)</td>
<td>0.41</td>
</tr>
</tbody>
</table>

INFRA-RED SPECTRUM OF THE COMPOUND-VI

The significant peaks obtained in the IR spectrum of the compound-VI alongwith their structural assignments with the help of available literature are given herein:

IR\(\nu_{\text{KBr}}\) max: 2900 and 1475 (-CH\(_2\) ); 1635 (-C-N<); 1600 (-N=N-); 1510, 1155, 1075, 1050, 890, 645, 790, 730 and 678 (substituted benzene ring); 1240, 1195 and 1175 (C-O-C) respectively.
SYNTHESIS OF THE COMPOUND-VII : N-[2- (2,4,6-TRICHLOROPHENOXY) PROPIONYL] BENZOTRIAZOLE

The syntheses of 2,4,6-trichlorophenol, trichlorophenoxy propionic acid and trichlorophenoxy propionyl chloride have already been given on pages 98-99 respectively.

SYNTHESIS OF N-[2- (2,4,6-TRICHLOROPHENOXY) PROPIONYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 35 ml ethanol) in a 500 ml beaker was treated with 5 ml 4N NaOH solution. The 2,4,6-trichlorophenoxy propionyl chloride (in 25 ml ethanol) was added in the above solution with constant stirring (60 minutes) and worked up by the same method as given on page 39. The product was purified over the column of neutral alumina using chloroform:methanol (5:5 v/v) mixture as an eluant. Finally, the eluate (150 ml) was concentrated and the product was crystallised from methanol as colourless shining crystals, yield 60%, m.p. 138-139°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-VII

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(i) Benzene:methanol (7:3 v/v)  0.62
(ii) Chloroform:methanol (6:4 v/v)  0.72

INFRA-RED SPECTRUM OF THE COMPOUND-VII

The significant peaks obtained in the IR spectrum of the compound-VII along with their structural assignments with the help of available literature 174-177 are given herein:

\[ \text{IR} \text{KBr} \text{max} : 2935, 1450 \text{ and } 1360 \text{ (C-CH}_3\text{)}; 1630 \text{ (-C=N<)}; 1575 \text{ (-N=N-)}; 1500, 1140, 1045, 1030, 890, 835, 780, 735 \text{ and } 670 \text{ (substituted benzene ring)}; 1235, 1195 \text{ and } 1165 \text{ (C-O-C) respectively.}

SYNTHESIS OF THE COMPOUND-VIII : N-[2-(2,4-DICHLOROPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 2,4-dichlorophenol, dichlorophenoxy acetic acid and dichlorophenoxy acetyl chloride have already been given on page 101.

SYNTHESIS OF N-[2-(2,4-DICHLOROPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml 4N NaOH solution. The 2,4-dichlorophenoxy acetyl chloride (in 20 ml ethanol) was added in
the above solution with constant stirring (45 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using chloroform:methanol (8:2 v/v) mixture as an eluant. Finally, the eluate (180 ml) was concentrated and the product was crystallised from methanol as colourless needles, yield 67%, m.p. 100°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-VIII

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.

<table>
<thead>
<tr>
<th>Solvent systems</th>
<th>( R_f ) values</th>
</tr>
</thead>
<tbody>
<tr>
<td>(i) Benzene:ethyl acetate (5:5 v/v)</td>
<td>0.41</td>
</tr>
<tr>
<td>(ii) Chloroform:methanol (9:1 v/v)</td>
<td>0.58</td>
</tr>
</tbody>
</table>

INFRA-RED SPECTRUM OF THE COMPOUND-VIII

The significant peaks obtained in the IR spectrum of the compound-VIII along with their structural assignments with the help of available literature174-177 are given herein:

\[
\text{IR}_{\text{max}}^{\text{KBr}}: 2900 \text{ and } 1480 (-\text{CH}_2); 1630 (-\text{C-N<}); 1585 (-\text{N=N-}); 1500, 1152, 1050, 1030, 885, 832, 785, 745 \text{ and } 685 \text{ (substituted benzene ring); 1240, 1200 and 1170 (C-O-C) respectively.}
\]
SYNTHESIS OF THE COMPOUND-IX: N-[2-(2,5-DICHLOOROPHENOXY) ACETYL] BENZOTRIAZOLE

The synthesis of 2,5-dichlorophenol, dichlorophenoxy acetic acid and dichlorophenoxy acetyl chloride have already been given on pages 103-104 respectively.

SYNTHESIS OF N-[2-(2,5-DICHLOOROPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 30 ml ethanol) in a 500 ml beaker was treated with 5 ml 4N NaOH solution. The 2,5-dichlorophenoxy acetyl chloride (in 20 ml ethanol) was added in the above solution with constant stirring (45 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using benzene:chloroform (5:5 v/v) mixture as an eluant. Finally, the eluate (140 ml) was concentrated and the product was crystallised from methanol as colourless crystals, yield 64%, m.p. 160-161°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-IX

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(i) Petroleum ether:benzene (2:8 v/v)  
(ii) Benzene:chloroform (4:6 v/v)

$R_f$ values

0.38

0.42

INFRARED SPECTRUM OF THE COMPOUND-IX

The significant peaks obtained in the IR spectrum of the compound-IX along with their structural assignments with the help of available literature 174-177 are given herein:

$\text{IR}_{\text{max}}^{\text{KBr}}$: 2910 and 1485 ($\text{CH}_2$); 1630 ($\text{C-N}$); 1575 ($\text{N-N}$); 1505, 1155, 1055, 1025, 890, 830, 780, 730 and 680 (substituted benzene ring); 1235, 1195 and 1175 (C-O-C) respectively.

SYNTHESIS OF THE COMPOUND-X: N-[2-(2-CHLOROPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 2-chlorophenol, 2-chlorophenoxy acetic acid and 2-chlorophenoxy acetyl chloride have already been given on pages 105-106 respectively.

SYNTHESIS OF N-[2-(2-CHLOROPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 20 ml ethanol) in a 500 ml beaker was treated with 5 ml 4N NaOH solution. The 2-chlorophenoxy acetyl chloride (in 20 ml ethanol) was added in the above solution with constant stirring (60 minutes) and
worked by the same method as given on page 39. The product was purified over the column of neutral alumina using chloroform as an eluant. Finally the eluate (190 ml) was concentrated and the product was crystallised from acetone as light pink needles, yield 70%, m.p. 116-117\(^\circ\).

**THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-X**

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 94 which showed single spot in each case.

<table>
<thead>
<tr>
<th>Solvent systems</th>
<th>(R_f) values</th>
</tr>
</thead>
<tbody>
<tr>
<td>(i) Petroleum ether:chloroform (6:4 v/v)</td>
<td>0.62</td>
</tr>
<tr>
<td>(ii) Benzene:chloroform (5:5 v/v)</td>
<td>0.68</td>
</tr>
</tbody>
</table>

**INFRA-RED SPECTRUM OF THE COMPOUND-X**

The significant peaks obtained in the IR spectrum of the compound-X along with their structural assignments with the help of available literature\(^{174-177}\) are given herein:

\[\text{IR}^{\text{KBr}}_{\text{max}}: 2900 \text{ and } 1470 (-\text{CH}_2); 1635 (-\text{C}-\text{N} <); 1580 (-\text{N= N-}); 1500, 1190, 1130, 1060, 1040, 785, 750 \text{ and } 680 \text{ (substituted benzene ring); } 1250, 1210 \text{ and } 1150 (\text{C-O-C}) \text{ respectively.}\]
SYNTHESIS OF THE COMPOUND-XI : *N*-[2-(4-CHLOROPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 4-chlorophenol, 4-chlorophenoxy acetic acid and 4-chlorophenoxy acetyl chloride have already been given on pages 107-108 respectively.

SYNTHESIS OF *N*-[2-(4-CHLOROPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml 4N NaOH solution. The 4-chlorophenoxy acetyl chloride (in 20 ml ethanol) was added in the above solution with constant stirring (60 minutes) and worked up as usual (page 39 ). The product was purified over the column of neutral alumina using chloroform as an eluant. Finally, the eluate (170 ml) was concentrated and the product was crystallised from methanol as colourless crystals, yield 70%, m.p. 276-277°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XI

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(i) Benzene:chloroform (8:2 v/v)  
(ii) Benzene:ethyl acetate (8:2 v/v)  

Rf values

0.60

0.74

INFRA-RED SPECTRUM OF THE COMPOUND-XI

The significant peaks obtained in the IR spectrum of the compound-XI along with their structural assignments with the help of available literature are given herein:

\[\text{IR}^{\text{KBr}}_{\text{max}}: 2905 \text{ and } 1480 \text{ (CH}_2\text{); } 1630 \text{ (C}''\text{N}<\text{); } 1580\text{ (-N=N-); } 1540, 1150, 1125, 1060, 850, 775, 745 \text{ and } 685 \text{ (substituted benzene ring); } 1240, 1205 \text{ and } 1180 \text{ (C-O-C) respectively.} \]

SYNTHESIS OF THE COMPOUND-XII: N-[2-(2,4,6-TRINITROPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 2,4,6-trinitrophenol, trinitrophenoxy acetic acid and trinitrophenoxy acetyl chloride have already been given on pages 110-111 respectively.

SYNTHESIS OF N-[2-(2,4,6-TRINITROPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 20 ml ethanol) in a 500 ml beaker was treated with 5 ml 4N NaOH solution. The 2,4,6-trinitrophenoxy acetyl chloride (in 20 ml ethanol) was added in
the above solution with constant stirring (45 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using benzene:ethyl acetate (6:4 v/v) mixture as an eluant. Finally, eluate (160 ml) was concentrated and the product was crystallised from acetone as yellow needles, yield 72%, m.p. 203-205°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XII

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.

Solvent systems
(i) Benzene:ethyl acetate (8:2 v/v)  
(ii) Chloroform:methanol (9:1 v/v)  

\[ R_f \text{ values} \]

0.54  
0.69

INFRA-RED SPECTRUM OF THE COMPOUND-XII

The significant peaks obtained in the IR spectrum of the compound-XII along with their structural assignments with the help of available literature 174-177 are given herein:

\[ \text{IR}_{\text{KBr}}^\text{max} : 2900 \text{ and } 1475 \text{ (-CH}_2\text{), } 1635 \text{ (-C-N<), } 1585 \text{ (-N=N-); } 1560, 1495, 1340, 1285, 1130, 1060, 890, 835, 790, 740 \text{ and } 685 \text{ (substituted benzene ring) } 1245, 1200 \text{ and } 1160 \text{ (C-O-C) respectively.} \]
SYNTHESIS OF THE COMPOUND-XIII : N-[2-(2,4,6-TRINITROPHENOXY)
PROPIONYL] BENZOTRIAZOLE

The syntheses of 2,4,6-trinitrophenol, trinitro-
phenoxy propionic acid and trinitrophenoxy propionyl
chloride have already been given on pages 113-114 respec-
tively.

SYNTHESIS OF N-[2-(2,4,6-TRINITROPHENOXY) PROPIONYL]
BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole
(0.036 mole in 20 ml ethanol) in a 500 ml beaker was
treated 5 ml 4N NaOH solution. The 2,4,6-trinitrophenoxy
propionyl chloride (in 25 ml ethanol) was added in the
above solution with constant stirring (60 minutes) and
worked up as usual (page 39 ). The product was
purified over the column of neutral alumina using
chloroform:methanol (5:5 v/v) mixture as an eluant.
Finally, eluate (140 ml) was concentrated and the
product was crystallised from methanol as yellow needles,
yield 71%, m.p. 198-200°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XIII

TLC was done on silica gel 'G' plates in the
following solvent systems by the same method as
described on page 84 which showed single spot in each
case.
Solvent systems

(1) Benzene:methanol (6:4 v/v)
(2) Chloroform:methanol (8:2 v/v)

\[ R_f \] values

0.60
0.68

INFRA-RED SPECTRUM OF THE COMPOUND-XIII

The significant peaks obtained in the IR spectrum of the compound-XIII along with their structural assignments with the help of available literature \(^{174-177}\) are given herein:

\[ \text{IR}^{KBr}_{\text{max}}: 2930, 1455 \text{ and } 1385 \text{ (C-CH}_3\text{)}; 1640 \text{ (-C-N<); 1585 (-N=N-); 1565, 1485, 1335, 1295, 1130, 1060, 890, } \]
\[840, 785, 735 \text{ and } 680 \text{ (substituted benzene ring); 1240, 1190 \text{ and } 1155 \text{ (C-O-C) respectively.}} \]

SYNTHESIS OF THE COMPOUND-XIV: N-[2-(2-NITROPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 2-nitrophenol, 2-nitrophenoxy acetic acid and 2-nitrophenoxy acetyl chloride have already been given on pages 115-117 respectively.

SYNTHESIS OF N-[2-(2-NITROPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 20 ml ethanol) in a 500 ml beaker was treated with 5 ml of 4N NaOH solution. The 2-nitrophenoxy acetyl chloride (in 25 ml ethanol) was added in the above solution with constant stirring
(50 minutes) and worked up by the same procedure as given on page 39. The product was purified over the column of neutral alumina using chloroform as an eluant. Finally, the eluate (150 ml) was concentrated and the product was crystallised from methanol as yellow crystals, yield 74%, m.p. 148-150°.

**THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XIV**

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.

<table>
<thead>
<tr>
<th>Solvent systems</th>
<th>Rf values</th>
</tr>
</thead>
<tbody>
<tr>
<td>(i) Benzene:chloroform (7:3 v/v)</td>
<td>0.49</td>
</tr>
<tr>
<td>(ii) Benzene:methanol (9:1 v/v)</td>
<td>0.60</td>
</tr>
</tbody>
</table>

**INFRA-RED SPECTRUM OF THE COMPOUND-XIV**

The significant peaks obtained in IR spectrum of the compound-XIV alongwith their structural assignments with the help of available literature174-177 are given herein:

\[ \text{IR} \times KBR \max : 2905 \text{ and } 1478 (-CH\_2); 1635 (-C-N\_<); 1585 (-N=N-); 1560, 1500, 1335, 1285, 1210, 1135, 1050, 780, 740 \text{ and } 685 \text{ (substituted benzene ring); } 1235, 1190 \text{ and } 1160 \text{ (C-O-C) respectively.} \]
SYNTHESIS OF THE COMPOUND-XV : N-[2-(3-NITROPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 3-nitrophenol, 3-nitrophenoxy acetic acid and 3-nitrophenoxy acetyl chloride have already been given on pages 119-120 respectively.

SYNTHESIS OF N-[2-(3-NITROPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml of 4N NaOH solution. The 3-nitrophenoxy acetyl chloride (in 20 ml ethanol) was added in the above solution with constant stirring (60 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using chloroform:ethyl acetate (7:3 v/v) mixture as an eluant. Finally, the eluate (130 ml) was concentrated and the product was crystallised from ethyl acetate as yellow needles, yield 66%, m.p. 218-220°C.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XV

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(i) Benzene:methanol (8:2 v/v)  
(ii) Chloroform:methanol (9:1 v/v)  

R_f values  
0.62  
0.70  

INFRA-RED SPECTRUM OF THE COMPOUND-XV

The significant peaks obtained in IR spectrum of the compound-XV along with their structural assignments with the help of available literature\(^{174-177}\) are given herein:

\[\text{IR}_{\text{max}}^{KBr} : 2910 \text{ and } 1480 (-\text{CH}_2); 1630 (-\text{C-N}<); 1590 (-\text{N=N-}); 1570, 1475, 1342, 1300, 1140, 1034, 900, 765, 740 \text{ and } 685 (\text{substituted benzene ring}); 1235, 1210 \text{ and } 1165 (\text{C-C-C}) \text{ respectively.}

SYNTHESIS OF THE COMPOUND-XVI : N-[2-(4-NITROPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 4-nitrophenol, 4-nitrophenoxy acetic acid and 4-nitrophenoxy acetyl chloride have already been given on pages \(122-124\) respectively.

SYNTHESIS OF N-[2-(4-NITROPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 20 ml ethanol) in a 500 ml beaker was treated with 5 ml of 4N NaOH solution. The 4-nitrophenoxy acetyl chloride (in 20 ml ethanol) was added in the above solution with constant stirring.
(50 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using benzene:ethyl acetate (5:4 v/v) mixture as an eluant. Finally, eluate (160 ml) was concentrated and the product was crystallised from methanol as yellow needles, yield 80%, m.p. 158-160°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XVI

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.

Solvent systems

<table>
<thead>
<tr>
<th>Solvent systems</th>
<th>R_f values</th>
</tr>
</thead>
<tbody>
<tr>
<td>(i) Benzene:ethyl acetate (9:1 v/v)</td>
<td>0.44</td>
</tr>
<tr>
<td>(ii) Benzene:acetone (8:2 v/v)</td>
<td>0.54</td>
</tr>
</tbody>
</table>

INFRA-RED SPECTRUM OF THE COMPOUND-XVI

The significant peaks obtained in IR spectrum of the compound-XVI alongwith their structural assignments with the help of available literature 174-177 are given herein:

$\text{IR}^{KBr}_{\text{max}}$: 2905 and 1485 (-CH$_2$); 1645 (-C-N$^\ominus$); 1570, 1520, 1340, 1285, 1180, 1130, 1050, 885, 790, 740 and 685 (substituted benzene ring); 1240, 1200 and 1165 (C-O-C) respectively.
SYNTHESIS OF THE COMPOUND-XVII : N-[2-(2-METHYLPHENOXY) ACETYL] BENZOTRIAZOLE

The synthesis of 2-methyl phenol, 2-methylphenoxy acetic acid and 2-methylphenoxy propionyl chloride have already given on pages 125-126 respectively.

SYNTHESIS OF N-[2-(2-METHYLPHENOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 30 ml ethanol) in a 500 ml beaker was treated with 5 ml of 4N NaOH solution. The 2-methylphenoxy acetyl chloride (in 20 ml ethanol) was added in the above solution with constant stirring (45 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using benzene:ethyl acetate (4:6 v/v) mixture as an eluant. Finally, the eluate (120 ml) was concentrated and the product was crystallised from methanol as colourless crystals, yield 75%, m.p. 260-262°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XVII

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(1) Benzene:ethyl acetate (8:2 v/v)  \( R_f \) values
(2) Chloroform:ethyl acetate (7:3 v/v)  \( R_f \) values

INFRA-RED SPECTRUM OF THE COMPOUND-XVII

The significant peaks obtained in IR spectrum of the compound-XVII along with their structural assignments with the help of available literature \( 174-177 \) are given herein:

\[ \text{IR}_{\text{max}}^{\text{KBr}} : 2925 (\text{C-CH}_3); 2900 \text{ and } 1485 (\text{-CH}_2); 1640 (\text{-C-N<}); 1580 (\text{-N=N-}); 1505, 1180, 1140, 1050, 785, 740 \text{ and } 685 (\text{substituted benzene ring}); 1250, 1200 \text{ and } 1160 (\text{C-O-C}) \text{ respectively.} \]

SYNTHESIS OF THE COMPOUND-XVIII : \( N-[2-(3\text{-METHYL PHENOXY}) \text{ ACETYL}] \text{ BENZOTRIAZOLE} \)

The syntheses of 3-methyl phenol, 3-methylphenoxy acetic acid and 3-methylphenoxy acetyl chloride have already been given on page 126. respectively.

SYNTHESIS OF \( N-[2-(3\text{-METHYLPHENOXY}) \text{ ACETYL}] \text{ BENZOTRIAZOLE} \)

To an ice-cooled solution of benzotriazole (0.036 mole in 20 ml ethanol) in a 500 ml of beaker was treated with 5 ml of 4N NaOH solution. The 3-methylphenoxy acetyl chloride (in 30 ml ethanol) was added in the above solution with constant stirring
(60 minutes) and worked up by the similar method as given on page 39. The product was purified over the column of neutral alumina using benzene:methanol (8:2 v/v) mixture as an eluant. Finally, the eluate (120 ml) was concentrated and the product was crystallised from methanol as colourless shining needles, yield 70%, m.p. 218-220°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XVIII

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.

<table>
<thead>
<tr>
<th>Solvent systems</th>
<th>Rf values</th>
</tr>
</thead>
<tbody>
<tr>
<td>(i) Benzene:methanol (9:1 v/v)</td>
<td>0.42</td>
</tr>
<tr>
<td>(ii) Chloroform:methanol (8:2 v/v)</td>
<td>0.64</td>
</tr>
</tbody>
</table>

INFRA-RED SPECTRUM OF THE COMPOUND-XVIII

The significant peaks obtained in the IR spectrum of the compound-XVIII along with their structural assignments with the help of available literature\textsuperscript{174-177} are given herein:

\[ \text{IR}^\text{KBr}_{\text{max}}: 2928 \text{ (C-CH}_3\text{); 2895 and 1475 (\text{-CH}_2\text{); 1630 (} \text{-C-N<})\text{; 1495, 1150, 1085, 1060, 1030, 780, 750 and 690 (substituted benzene ring); 1240, 1200 and 1170 (C=O-C), 1590\text{ (}=N=N\text{)) respectively.} \]
SYNTHESIS OF THE COMPOUND-XIX: N-[2-(4-METHYLPHENOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 4-methyl phenol, 4-methylphenoxy acetic acid and 4-methylphenoxy acetyl chloride have already been given on pages 130-131 respectively.

SYNTHESIS OF N-[2-(4-METHYLPHENOXY) ACETYL] BENZOTRIAZOLE

The an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml of 4N NaOH solution. The 4-methylphenoxy acetyl chloride (in 30 ml ethanol) was added in the above solution with constant stirring (45 minutes) and worked up as usual (page 39). The product was purified over the column of neutral alumina using chloroform as an eluant. Finally, the eluate (140 ml) was concentrated and the product was crystallised from methanol as colourless needles, yield 68%, m.p. 258-260⁰.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XIX

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(i) Petroleum ether:chloroform (8:2 v/v)  \( R_f \) values 0.58
(ii) Benzene:chloroform (7:3 v/v) 0.65

INFRA-RED SPECTRUM OF THE COMPOUND-XIX

The significant peaks obtained in IR spectrum of the compound-XIX along with their structural assignments with the help of available literature\(^{174-177}\) are given herein:

\[
\text{IR } v_{\text{max}}^{\text{KBr}}: 2930 \text{ (C-CH}_3\text{)}, 2900 \text{ and } 1485 \text{ (-CH}_2\text{)}, 1630 \text{ (-C-N\text{)})}, 1590 \text{ (-N=NO\text{)})}, 1505, 1180, 1130, 1035, 840, 785, 745 \text{ and } 685 \text{ (substituted benzene ring); 1240, 1200 and 1160 \text{ (C-O-C) respectively.}}
\]

SYNTHESIS OF THE COMPOUND-XX: \( N-\{2-(1-NAPHTHOXY) ACETYL\} \) BENZOTRIAZOLE

The syntheses of 1-naphthol, 1-naphthoxy acetic acid and 1-naphthoxy acetyl chloride have already been given on pages\(^{132-133}\) respectively.

SYNTHESIS OF \( N-\{2-(1-NAPHTHOXY) ACETYL\} \) BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 25 ml ethanol) in a 500 ml beaker was treated with 5 ml of 4N NaOH solution. The 1-naphthoxy acetyl chloride (in 25ml ethanol) was added in the above solution with constant stirring (40 minutes) and worked
up as usual (page 44). The product was purified over the column of neutral alumina using benzene:acetone (7:3 v/v) mixture as an eluant. Finally, the eluate (120 ml) was concentrated and the product was crystallised from acetone as brown crystals, yield 65%, m.p. 54\(^\circ\).

**THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XX**

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.

**Solvent systems**

(i) Benzene:chloroform (2:8 v/v)  
(ii) Benzene:methanol (6:4 v/v)  

**R\(_f\) values**

0.46  
0.61

**INFRA-RED SPECTRUM OF THE COMPOUND-XX**

The significant peaks obtained in IR spectrum of the compound-XX alongwith their structural assignments with the help of available literature\(^{174-177}\) are given herein:

\[\text{IR}_{\text{max}}^{K\text{Br}}: 2905 \text{ and } 1485 \text{ (CH}_2\text{)}; 1638 \text{ (-CN)}; 1600 \text{ (-N=N-)}; 1580, 1510, 1385, 1180, 790, 760 \text{ and } 680 \text{ (substituted aromatic ring)}; 1240, 1195 \text{ and } 1155 \text{ (C-O-C)} \text{ respectively.}\]
SYNTHESIS OF THE COMPOUND-XXI: N-[2-NAPHTHOXY) ACETYL] BENZOTRIAZOLE

The syntheses of 2-naphthol, 2-naphthoxy acetic acid and 2-naphthoxy acetyl chloride have already been given on pages 134-135 respectively.

SYNTHESIS OF N-[2-(2-NAPHTHOXY) ACETYL] BENZOTRIAZOLE

To an ice-cooled solution of benzotriazole (0.036 mole in 30 ml ethanol) in a 500 ml beaker was treated with 5 ml of 4N NaOH solution. The 2-naphthoxy acetyl chloride (in 20 ml ethanol) was added in the above solution with constant stirring (45 minutes) and worked up as usual (page 44). The product was purified over the column of neutral alumina using chloroform:ethyl acetate (4:6 v/v) mixture as an eluant. Finally, the eluate (140 ml) was concentrated and the product was crystallised from methanol as brown crystals, yield 64%, m.p. 148-150°.

THIN LAYER CHROMATOGRAPHY EXAMINATION OF THE COMPOUND-XXI

TLC was done on silica gel 'G' plates in the following solvent systems by the same method as described on page 84 which showed single spot in each case.
Solvent systems

(i) Benzene:methanol (7:3 v/v) \( R_f \) value: 0.58

(ii) Chloroform:ethyl acetate (6:4 v/v) \( R_f \) value: 0.66

INFRA-RED SPECTRUM OF THE COMPOUND-XXI

The significant peaks obtained in IR spectrum of the compound-XXI along with their structural assignments with the help of available literature\(^{174-177}\) are given herein:

\[ \text{IR} \text{KBr}\text{}_{\text{max}}^2 : 2900 \text{ and } 1475 (\text{-CH}_2); 1630 (\text{-C-N}); 1590 (\text{-N=N-}); 1565, 1500, 1375, 1170, 1030, 855, 795, 755 \text{ and } 685 \text{ (substituted aromatic ring)}; 1240, 1185 \text{ and } 1150 \text{ (C-O-C) respectively.} \]
SECTION II
RESULTS AND CONCLUSIONS OF
ANTIMICROBIAL ACTIVITY
ANTI-INFLAMMATORY ACTIVITY
ANALGESIC ACTIVITY
EVALUATIONS OF THE FOLLOWING ACTIVITIES:

All the synthesised compounds (I to XXI) were screened for the following activities:

(a) **ANTIMICROBIAL ACTIVITY**: The anti-microbial activity was done by adopting the method as described on pages 56, 61 against the various selected bacteria and fungi.

(b) **ANTI-INFLAMMATORY ACTIVITY**: The anti-inflammatory activity was performed by carragenan induced rat paw oedema method as given on page 71.

(c) **ANALGESIC ACTIVITY**: The analgesic activity was screened by hot plate method as given on page 38 on albino rats.

The above results are given in the TABLES-I, II, III and IV respectively.
RESULTS AND CONCLUSIONS:

On the basis of the results given in TABLES-I and II, the following CONCLUSIONS have been drawn -

(1) All the compounds except chloro and napthoxy series (VI to XI; XX and XXI) were tested against the bacteria: E.coli, V.cholerae, K.pneumoniae and S.typhimurium and fungi: A.fumigatus, C.albicans, M.gypseum and T.mentagrophytes.

(2) All the compounds of bromo series (III to V) were found to be antimicrobially very active against some of the selected bacteria and fungi.

(3) The compound - III was found to be effective against all the bacteria except S.typhimurium, compound - IV was only inactive against V.cholerae and compound-V showed the activity against E.coli and V.cholerae only.

(4) Nitrosubstituted compounds XIII, XIV, XVI and methyl substituted compound XVII showed moderately better antibacterial activity against K.pneumoniae, E.coli, S.typhimurium and E.coli respectively.

(5) Chlorosubstituted compounds (VI to XI) and napthoxy compounds (XX and XXI) were only tested against the bacteria: E.coli, P.vulgaris,

(6) Trichlorophenoxy acetyl and propionyl benzotriazoles (VI and VII) and 2,5-dichlorophenoxy acetyl benzotriazole (IX) were found to be active against all the tested bacteria and fungi (given in point 5).

(7) 2,4-dichloro (VIII) and 4-chloro (XI) phenoxy acetyl benzotriazoles were found to be active against B. anthracis while 2-chlorophenoxy acetyl benzotriazole (X) showed activity against E. coli.

(8) The bromo compounds (III and IV) showed better antifungal activity against C. albicans, M. gypseum and A. fumigatus respectively (given in point-1).

(9) In nitro series only 4-nitrophenoxy acetyl benzotriazole (XVI) showed promising antifungal activity against all the fungi tested except T. metagrophytes (given in point-1).

(10) In methyl series, the compound-XVII found to be moderately better active against T. metagrophytes.

(11) All the chloro compounds except the compounds X and XI were effective against all the fungi whereas 2- and 4-chlorophenoxy (X and XI), 1- and 2-naphthoxy acetyl benzotriazoles (XX and XXI) were found to be inactive against fungi tested (given in point-5).
RESULTS AND CONCLUSIONS:

On the basis of data mentioned in the TABLE-III, the following CONCLUSIONS have been drawn -

1. All the compounds exhibited mild to moderate and better anti-inflammatory activity.

2. Trichlorophenoxy acetyl benzotriazole (VI) was found to be more active than other compounds.

3. Only the compounds-III, IV, VII and IX showed good anti-inflammatory activity.

4. The compounds - I, II, XIV, XVIII and XXI showed very mild activity while compound-XX was found to be inactive to inhibit the inflammation.

5. All the compounds produced the symptoms of depression, dullness, severe depression, atoxia and fast respiration in animals. Only compound-VI showed CNS stimulant activity and excitement at the dose level of > 200 mg/kg b.w.
RESULTS AND CONCLUSIONS:

On the basis of the results, given in TABLE-IV, the following CONCLUSIONS have been drawn -

(1) All the compounds possess weak to moderate and better analgesic activity.

(2) In all the synthesised compounds, the tribromophenoxy propionyl benzotriazole (IV) showed better activity as compared to tribromo, trinitrophenoxy acetyl and propionyl benzotriazoles (III, XII and XIII).

(3) In methylphenoxy acetyl benzotriazoles series, only 2-methyl derivative (XVII) showed moderate analgesic activity.

(4) Only the trichlorophenoxy acetyl benzotriazole (VI) produced CNS stimulant activity while the other synthesised compounds were found to be CNS depressant at the dose level of > 200 mg/kg b.w.

The work of this chapter has already been published in INDIAN J. PHARM. SCI., 53(1), 12-15 (1991).