EXPERIMENTAL:

The antibacterial activities of the compounds were screened by disc plate method. The test discs were containing 50 microgram per disc of the test compound. The activity was shown in opposition to gram positive bacteria say *Staphylococcus aureus* [MTCC (96)], *Bacillus megaterium* [MTCC (121)] and gram negative bacteria *Escherichia coli* [MTCC (443)], *Proteus vulgaris* [MTCC (1771)].

Preparation of Media:

For bacterial activity nutrient agar is used. Nutrient agar is prepared as follows:

1) Peptone : 5 gm
2) Meat Extract : 3 gm
3) Sodium chloride : 5 gm
4) Agar Agar : 15 gm

All the above ingredients were mixed in one liter distilled water and heated to dissolve all the ingredients. The medium was stabilized in autoclave at 15 pound pressure at 125°C for 20 minutes. The medium was cooled down to 45°C and 20 ml poured in sterilized Petri dish. The pH of the medium was adjusted between 7.0 to 7.5

The culture of the above organism was prepared in nutrient broth dissolved in distilled water. The content of nutrient broth are:

1) Beef extract : 10 gm
2) Peptone : 10 gm
3) Sodium chloride : 5 gm

After sterilizing the above media, it was used for the culture purpose. The culture was grown at 37°C in incubator. With the help of swab the culture was spread over the agar plates, under specific condition

5 mm diameter paper discs were prepared and were sterilized in autoclave. The solution of the test compound was kept over these paper discs with the help of micropipette. These discs were dried to remove the solvent. Sterile test compound coated by discs were kept in Petri dish containing culture media. The discus was
pressed to sterile on media and Petri dishes were incubated for 24 hours at 37°C. After the incubations the zone of inhibition was measured.

The zone of inhibition in mm of the tested compounds for antibacterial activity is given in tables. Activities of ampicillin and gentamycin are also given for comparisons.
Antimicrobial activity

Chapter – 10

Antibacterial Activity of 5-Nitrobenzene Sulfonamide Derivatives

![Graph showing antibacterial activity](image)

Figure 10.2.1 Antibacterial Activities of 5-Nitrobenzene Sulfonamide Derivatives

<table>
<thead>
<tr>
<th>Organisms</th>
<th>Compounds</th>
<th>Ampicillin</th>
<th>Gentamycin</th>
</tr>
</thead>
<tbody>
<tr>
<td><em>S. aureus</em></td>
<td>2-Cl and 3,4-(OCH₃)₂</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td><em>B. megaterium</em></td>
<td>3,4-(OCH₃)₂</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td><em>E. coli</em></td>
<td>2-OCH₃</td>
<td>-</td>
<td>✓</td>
</tr>
<tr>
<td><em>P. vulgaris</em></td>
<td>3,4-(OCH₃)₂</td>
<td>-</td>
<td>✓</td>
</tr>
</tbody>
</table>

Table-10.2.1: Comparison of 5-Nitrobenzene Sulfonamide Derivatives against Standard Drugs

A short review of results of antibacterial screening of the compounds of this section is mentioned here:

1. Against *Staphylococcus aureus*:
   Maximum activity were found in compound (2e, 2i) zone of inhibition - 10.0 mm and minimum activity were found in compounds (2a), (2d) and (2g) zone of inhibition - 7.0 mm
(II) **Against Bacillus megaterium:**
Maximum activity were found in compound (2e) zone of inhibition -12.0 mm and in compound (2i) zone of inhibition -14.0 mm (close to standard drug) where as minimum activity were found in compounds (2b,2d) zone of inhibition -6.0 mm and -5.0 mm respectively.

(III) **Against Escherichia coli:**
Maximum activity were found in compound (2c) zone of inhibition -12.0 mm and minimum activity were found in compounds (2d) zone of inhibition -0.0 mm

(IV) **Against Proteus vulgaris:**
Maximum activity were found in compound (2i) zone of inhibition -15.0 mm (near to standard drug) and minimum activity were found in compounds (2d) zone of inhibition -4.0 mm

**Antibacterial Activity of 2-Thioxo-Tetrahydro Pyrimidine Derivatives**

![Graph showing antibacterial activities of various derivatives](image)

**Figure – 10.3.1 Antibacterial Activities of 2-Thioxo-Tetrahydropyrimidine Derivative**
Table 10.3.1  Comparison of 2-Thioxo-Tetrahydro Pyrimidine Derivatives against Standard Drugs

<table>
<thead>
<tr>
<th>Organisms</th>
<th>Compounds</th>
<th>Ampicillin</th>
<th>Gentamycin</th>
</tr>
</thead>
<tbody>
<tr>
<td>S. aureus</td>
<td>3-Br</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td>B. megaterium</td>
<td>3-Br and 2-Cl</td>
<td>✓</td>
<td>✓</td>
</tr>
<tr>
<td>E. coli</td>
<td>2-NO₂</td>
<td>-</td>
<td>✓</td>
</tr>
<tr>
<td>P. vulgaris</td>
<td>3-Br</td>
<td>-</td>
<td>✓</td>
</tr>
</tbody>
</table>

A short review of results of antibacterial screening of the compounds of this section is mentioned here:

(I) Against Staphylococcus aureus:
Maximum activity were found in compound (3h) zone of inhibition -14.0 m.m and minimum activity were found in compounds (3a), (3b) and (3i) zone of inhibition -7.0 m.m

(II) Against Bacillus megaterium:
Maximum activity were found in compound (3h) zone of inhibition -16.0 m.m where as minimum activity were found in compound (3i) zone of inhibition -5.0 m.m.

(III) Against Escherichia coli:
Maximum activity were found in compound (3g) zone of inhibition -14.0 m.m and minimum activity were found in compounds (3d) zone of inhibition -6.0 m.m

(IV) Against Proteus vulgaris:
Maximum activity were found in compound (3h) zone of inhibition -15.0 m.m (near to standard drug) and minimum activity were found in compounds (3b,3i,3j) zone of inhibition -4.0 m.m
Antimicrobial activity

Chapter - 10

Antibacterial Activity of 1,4 - Thiazepine Derivatives

![Graph showing antibacterial activity of 1,4 - Thiazepine Derivatives]

Figure 10.4.1 Antibacterial Activities of 1,4 Thiazepine Derivatives

Table 10.4.1: Comparison of 1,4 - Thiazepine Derivatives against Standard Drugs

<table>
<thead>
<tr>
<th>Organisms</th>
<th>Compounds</th>
<th>Ampicillin</th>
<th>Gentamycin</th>
</tr>
</thead>
<tbody>
<tr>
<td>S. aureus</td>
<td>3-Br</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td>B. megaterium</td>
<td>3-Br</td>
<td>✓</td>
<td>✓</td>
</tr>
<tr>
<td>E. coli</td>
<td>2-NO₂</td>
<td>-</td>
<td>✓</td>
</tr>
<tr>
<td>P. vulgaris</td>
<td>3-Br</td>
<td>✓</td>
<td>✓</td>
</tr>
</tbody>
</table>

A short review of results of antibacterial screening of the compounds of this section is mentioned here:

(1) Against Staphylococcus aureus:
Maximum activity were found in compound (4h) zone of inhibition -15.0 m.m and minimum activity were found in compound (4c) zone of inhibition -7.0 m.m
(II) Against *Bacillus megaterium*:
Maximum activity were found in compound (4h) zone of inhibition -14.0 m.m whereas minimum activity were found in compound (4b) zone of inhibition -6.0 m.m.

(III) Against *Escherichia coli*:
Maximum activity were found in compound (4g) zone of inhibition -14.0 m.m and minimum activity were found in compounds (4j) zone of inhibition -7.0 m.m.

(IV) Against *Proteus vulgaris*:
Maximum activity were found in compound (4h) zone of inhibition -18.0 m.m (near to standard drug) and minimum activity were found in compound (4b) zone of inhibition -7.0 m.m.

**Antibacterial Activity of Isoxazole Derivatives**

![Graph showing antibacterial activities of Isoxazole Derivatives](image)

**Figure – 10. 5.1 Antibacterial Activities of Isoxazole Derivatives**
Table - 10. 5.1 Comparison data of Isoxazole Derivatives against standard Drugs

<table>
<thead>
<tr>
<th>Organisms</th>
<th>Compounds</th>
<th>Ampicillin</th>
<th>Gentamycin</th>
</tr>
</thead>
<tbody>
<tr>
<td><em>S. aureus</em></td>
<td>3-Br</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td><em>B. megaterium</em></td>
<td>3-Br</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td><em>E. coli</em></td>
<td>3-Br</td>
<td>-</td>
<td>✓</td>
</tr>
<tr>
<td><em>P. vulgaris</em></td>
<td>2-Cl</td>
<td>-</td>
<td>-</td>
</tr>
</tbody>
</table>

A short review of results of antibacterial screening of the compounds of this section is mentioned here:

(I) Against *Staphylococcus aureus*:
Maximum activity were found in compound (5h) zone of inhibition -15.0 mm and minimum activity were found in compounds (3c) and (5j) zone of inhibition -5.0 mm

(II) Against *Bacillus megaterium*:
Maximum activity were found in compound (5h) zone of inhibition -14.0 mm where as minimum activity were found in compound (5j) zone of inhibition -5.0 mm.

(III) Against *Escherichia coli*:
Maximum activity were found in compound (5h) zone of inhibition -16.0 mm and minimum activity were found in compounds (3b,5c,5j) zone of inhibition -7.0 mm

(IV) Against *Proteus vulgaris*:
Maximum activity were found in compound (5e) zone of inhibition -15.0 mm (near to standard drug) and minimum activity were found in compounds (5b,5c) zone of inhibition -5.0 mm.
Antibacterial Activity of 2-Oxo-Tetrahydro Pyrimidine Derivatives

Figure – 10.6.1 Antibacterial Activities of 2-Oxo-Tetrahydropyrimidine Derivatives

Table – 10.6.1 Comparison of 2-Oxo-Tetrahydropyrimidine Derivative against Standard Drugs

<table>
<thead>
<tr>
<th>Organisms</th>
<th>Compounds</th>
<th>Ampicillin</th>
<th>Gentamycin</th>
</tr>
</thead>
<tbody>
<tr>
<td>S. aureus</td>
<td>3-Br</td>
<td>-</td>
<td>-</td>
</tr>
<tr>
<td>B. megaterium</td>
<td>3-Br</td>
<td>-</td>
<td>-</td>
</tr>
<tr>
<td>E. coli</td>
<td>3-Br</td>
<td>✓</td>
<td>✓</td>
</tr>
<tr>
<td>P. vulgaris</td>
<td>3-Br</td>
<td>-</td>
<td>✓</td>
</tr>
</tbody>
</table>

A short review of results of antibacterial screening of the compounds of this section is mentioned here:

(1) Against Staphylococcus aureus:

Maximum activity were found in compound (6h) zone of inhibition -14.0 m.m and minimum activity were found in compound (6a) zone of inhibition -6.0 m.m
(II) Against *Bacillus megaterium*:
Maximum activity were found in compound (6h) zone of inhibition -13.0 m.m where as minimum activity were found in compound (6f) zone of inhibition -5.0 m.m.

(III) Against *Escherichia coli*:
Maximum activity were found in compound (6h) zone of inhibition 16.0 m.m and minimum activity were found in compound (6j) zone of inhibition -6.0 m.m

(IV) Against *Proteus vulgaris*:
Maximum activity were found in compound (6h) zone of inhibition -18.0 m.m (near to standard drug) and minimum activity were found in compounds (6j, 6f) zone of inhibition -5.0 m.m.

**Antibacterial Activity of Phenylquinoxalin Derivatives**

![Antibacterial Activity Graph]

**Figure – 10.7.1 Antibacterial Activities of Phenylquinoxalin Derivatives**
Table 10.7.1 Comparison of Phenylquinoxalin Derivative against Standard Drugs

<table>
<thead>
<tr>
<th>Organisms</th>
<th>Compounds</th>
<th>Ampicillin</th>
<th>Gentamycin</th>
</tr>
</thead>
<tbody>
<tr>
<td><em>S. aureus</em></td>
<td>2-Cl</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td><em>B. megaterium</em></td>
<td>2-OH</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td><em>E. coli</em></td>
<td>2-OCH₃</td>
<td>-</td>
<td>✓</td>
</tr>
<tr>
<td><em>P. vulgaris</em></td>
<td>2-OCH₃</td>
<td>-</td>
<td>✓</td>
</tr>
</tbody>
</table>

A short review of results of antibacterial screening of the compounds of this section is mentioned here:

(I) Against *Staphylococcus aureus*:
Maximum activity were found in compound (7c) zone of inhibition -14.0 m.m and minimum activity were found in compound (7a,7g) zone of inhibition 8.0 m.m

(II) Against *Bacillus megaterium*:
Maximum activity were found in compound (7d,7e) zone of inhibition -12.0 m.m where as minimum activity were found in compound (7f) zone of inhibition -5.0 m.m.

(III) Against *Escherichia coli*:
Maximum activity were found in compound (7c) zone of inhibition -14.0 m.m and minimum activity were found in compound (7a) zone of inhibition -7.0 m.m

(IV) Against *Proteus vulgaris*:
Maximum activity were found in compound (7c) zone of inhibition -13.0 m.m (near to standard drug) and minimum activity were found in compounds (7f,7g) zone of inhibition -5.0 m.m.
Antimicrobial activity

Antibacterial Activity of 1H Pyrazol Derivatives

**Table - 10.8.1 Comparison of 1H-Pyrazol Derivative against Standard Drugs**

<table>
<thead>
<tr>
<th>Organisms</th>
<th>Compounds</th>
<th>Ampicillin</th>
<th>Gentamycin</th>
</tr>
</thead>
<tbody>
<tr>
<td>S. aureus</td>
<td>3-Br</td>
<td>-</td>
<td>✓</td>
</tr>
<tr>
<td>B. megaterium</td>
<td>3,4-(OCl13)2</td>
<td>✓</td>
<td>-</td>
</tr>
<tr>
<td>E. coli</td>
<td>3-Br</td>
<td>-</td>
<td>✓</td>
</tr>
<tr>
<td>P. vulgaris</td>
<td>3-Br</td>
<td>-</td>
<td>✓</td>
</tr>
</tbody>
</table>

A short review of results of antibacterial screening of the compounds of this section is mentioned here:

(1) Against *Staphylococcus aureus*:

Maximum activity were found in compound (8h) zone of inhibition -15.0 m.m and minimum activity were found in compound (8e) zone of inhibition -5.0 m.m
(II) Against Bacillus megaterium:
Maximum activity were found in compound (8i) zone of inhibition -12.0 m.m where as minimum activity were found in compound (8b) zone of inhibition -10.0 m.m.

(III) Against Escherichia coli:
Maximum activity were found in compound (8h) zone of inhibition -14.0 m.m and minimum activity were found in compound (8e) zone of inhibition -6.0 m.m

(IV) Against Proteus vulgaris:
Maximum activity were found in compound (8h) zone of inhibition -16.0 m.m (near to standard drug) and minimum activity were found in compounds (8f) zone of inhibition -5.0 m.m.

Antibacterial Activity of 4,5-Dihydro-1H-Pyrazol Derivatives

![Antibacterial Activity Graph](image)

**Figure - 10.9.1 Antibacterial Activities of 4,5-Dihydro-1H-Pyrazol Derivatives**
Table – 10.9.1 Comparison of 4,5-Dihydro-1H-Pyrazol Derivative against Standard Drugs

<table>
<thead>
<tr>
<th>Organisms</th>
<th>Compounds</th>
<th>Ampicillin</th>
<th>Gentamycin</th>
</tr>
</thead>
<tbody>
<tr>
<td>S. aureus</td>
<td>3-Br</td>
<td>✓</td>
<td>✓</td>
</tr>
<tr>
<td>B. megaterium</td>
<td>3,4-(0CH₃)₂</td>
<td>✓</td>
<td>✓</td>
</tr>
<tr>
<td>E. coli</td>
<td>3,4-(0CH₃)₂</td>
<td>-</td>
<td>✓</td>
</tr>
<tr>
<td>P. vulgaris</td>
<td>3-Br</td>
<td>-</td>
<td>✓</td>
</tr>
</tbody>
</table>

A short review of results of antibacterial screening of the compounds of this section is mentioned here:

(I) Against *Staphylococcus aureus*:
Maximum activity were found in compound (9h) zone of inhibition -16.0 mm and minimum activity were found in compound (9e) zone of inhibition -5.0 mm.

(II) Against *Bacillus megaterium*:
Maximum activity were found in compound (9i) zone of inhibition -15.0 mm where as minimum activity were found in compound (9e) zone of inhibition -5.0 mm.

(III) Against *Escherichia coli*:
Maximum activity were found in compound (9i) zone of inhibition -15.0 mm and minimum activity were found in compound (9c,9e) zone of inhibition -5.0 mm.

(IV) Against *Proteus vulgaris*:
Maximum activity were found in compound (9h) zone of inhibition -17.0 mm (near to standard drug) and minimum activity were found in compounds (9c,9d) zone of inhibition -6.0 mm.