Antifungal, Antibacterial and Antioxidant activities of substituted Morpholinylbenzothiazine

*Praveen Kumar Sharma and Chanddeep Kaur

Department of Chemistry, School of Physical Science, Lovely Professional University, Phagwara, Punjab, India

ABSTRACT

In this study, we have synthesized bioactive N and S containing heterocyclic compounds of probable therapeutic attention. Synthesized compounds were tested for their antioxidant and antimicrobial activities.

INTRODUCTION

The N- and S- based heterocycles composed an interesting division of heterocycles\(^1\)\(^-\)\(^7\)and drawing the attention of the medicinal and synthetic chemists owing to their structural range and biological activities.

The bioactivity of heterocyclic compounds mainly based on the structural specificity and the power of interaction among a receptors and drug present in biological systems. In current work, we synthesized N- and S- containing heterocycles of potential therapeutic interest especially with heterosystems; Morpholine, benzothiazines[8-26], morpholinylbenzothiazines, mainly due to their unique structural features, which make them to show a number of biological and medicinal activities.

Research methodology

The purity of both synthesized compounds (a and b) were ensured by TLC using various solvents (non-aqueous). Electric melting point apparatus were used for determination of Melting points.

Synthesis of Morpholinyl-benzothiazine

Compound (a and b) were synthesized by the use of process present in literature[27].

a. 3,7-dimethyl-2-(4’-morpholinylcarbonyl)-4H-1,4-benzothiazine

b. 3- isopropyl -7-methyl-2-(4’-morpholinylcarbonyl)-4H-1,4-benzothiazine
Antimicrobial activity: The media utilize for this function are Nutrient agar media and potato dextrose media. Both synthesized compounds (a and b) were inspected for their biological activity aligned with bacterial and fungal strains.

Media preparation: - Potato dextrose agar (39g) and Nutrient agar (28g) was added to the 1 liter of water (double distilled) alone and mixed systematically and pH was regulated at 7.5 ± 0.2. Increase the temperature of solution to dissolve the component totally than for 45 minutes media was autoclaved at 121°C at 15lbs pressure. After that 15-20 ml of autoclaved media was added into petri dish for learning antimicrobial activities.

Method and Material required: - The anti-microbial activity tests were conducted against fungal species Aspergillus fumigatus (NCIM no 902) and bacterial species Salmonella typhimurium (NCIM no 2501) by the use of standard literature reported procedure related to disk-diffusion method[28]. Disks (Whattman no. 1 filter paper) were sterilized by autoclaving at 160°C for one hour. Then the sterile disks were soaked with the examine compounds of different concentrations. Cultures having 10^5 CFU per mL were used beside each concentration levels. The saturated disks were positioned on the medium separated from each other, and the plates were developed at 37°C for 24 h for bacterial species and 28°C for fungal species. Methanol was used as control and the zones of inhibition were considered in mm scale. Experiment materials (Media culture) were arranged from NCIM Pune (India). The results of antimicrobial activities are précised in table 1, 2 and 3.

RESULTS AND DISCUSSION

Both the synthesized compounds a and b were monitored for antimicrobial activity against different microbial species. Both the compounds confirmed antibacterial activities at different concentrations. Compounds a exhibit antifungal activity at different concentrations but b not exhibit antifungal activity. Result given in table 1, 2 and 3.

Table:-1 Antimicrobial activities of compound (a and b).

<table>
<thead>
<tr>
<th>Compounds</th>
<th>Bacterial species (Salmonella typhimurium) (Zone of inhibition in mm)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>0ppm</td>
</tr>
<tr>
<td>a</td>
<td>X</td>
</tr>
<tr>
<td>b</td>
<td>X</td>
</tr>
</tbody>
</table>

Table:-2 Antibacterial activities of Standard antibacterial drugs.

<table>
<thead>
<tr>
<th>Compounds/Concentration</th>
<th>(Zone of inhibition in mm)</th>
</tr>
</thead>
<tbody>
<tr>
<td>Cefotaxime (CTX/30ppm)</td>
<td>2mm</td>
</tr>
<tr>
<td>Erythromycin (E)/15ppm</td>
<td>15mm</td>
</tr>
<tr>
<td>Clindamycin (CD)/2ppm</td>
<td>12mm</td>
</tr>
<tr>
<td>Amoxyclav (A)/30ppm</td>
<td>0mm</td>
</tr>
<tr>
<td>Gentamicin (G)/10ppm</td>
<td>10mm</td>
</tr>
</tbody>
</table>

Table:-2 Antifungal activities of compound (a).

<table>
<thead>
<tr>
<th>Compounds</th>
<th>Fungal species (Aspergillus fumigatus) (Zone of inhibition in mm)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td>0ppm</td>
</tr>
<tr>
<td>a</td>
<td>X</td>
</tr>
</tbody>
</table>

Antioxidant activities
Preparation of Sample required for the antioxidant activity of compound (a and b)
DPPH and compounds (a and b)solution (125ppm) was prepared with methanol. Then add 4ml of DPPH solution in 100 microliter of compound a and b sample solution separately. Determine the wavelength of both of the sample solution independently by UV.

Calculation of Antioxidant activities
Formula used for calculation of anti-oxidant activities
Inhibition percentage = \[(control - sample) / control\].
Control = Absorbance for DPPH solution
Sample = DPPH+ sample
Compound (a)
Absorption (Control) at 517nm = 1.17522
Absorption (Sample) at same wavelength = 1.04150
Inhibition percentage = 11.37%

Compound (b)
Absorption (Control) at 517nm = 1.17522
Absorption (Sample) at same wavelength = 0.61667
Inhibition percentage = 47.527%

CONCLUSION
On the basis of above work Morpholinylbenzothiazines are fixed as chief class in heterocyclic compounds and their consequence are demanding in various diseases based on different verity of infections. Above work fixed that both the synthesized compounds (Sample a and b) exhibit antimicrobial activity against microbes as well as both compound illustrated antioxidant activity by DPPH method.

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