ANTIMICROBIAL ACTIVITY OF 2, 6 DI-SUBSTITUTED PIPERIDINE 4-ONE DERIVATIVES

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ABSTRACT

Objective: To evaluate the antimicrobial activity of 2,6 di-substituted piperidine-4-one derivatives.

Method: Tube dilution assay- It is the standard method for shaping levels of resistance to an antibiotics. A serial dilutions of the antibiotic are prepared in a liquid medium which is inoculated with a identical number of microorganisms and incubated at 37 ºC. The lowest concentration of the antibiotic is measured to be the minimal inhibitory concentration (MIC).

Results: The compound 3(DALII) were found highly efficacy against Staphylococcus aureus, Bacillus subtilis (Gram-positive) and the compound 3(DALII) were found highly efficacy against Aspergillus niger.

Conclusion: Synthesized 2,6 di-substituted piperidine 4-one derivatives exhibited antimicrobial activity against the tested organisms.

Keywords: Piperidine derivatives, Antimicrobial activity, Tube dilution assay.

INTRODUCTION

The goal of the pharmaceutical research is to synthesis the compound which is more efficacy against resistance microorganisms. The substituted piperidine derivatives were reported for antimicrobials[1-5]. The 2,6 di-substituted piperidine-4-one derivatives were synthesized by manich reaction (condensation method) and characterized by IR, NMR, MASS spectroscopy and evaluated for antimicrobial activity (zone of inhibition) at two concentrations by disc diffusion method[6] and we were reported. The aim of this research is to evaluate the minimum inhibitory concentration (MIC) of 2,6 di-substituted piperidine-4-one derivatives against gram positive bacteria, gram negative bacteria and fungus.

MATERIALS AND METHODS

Chemicals and solvents

Muller-Hinton broth, Muller-Hinton agar, 0.5 McFarland turbidity standard and Cultures of bacteria were received from Himedia laboratories. Dimethyl sulfoxide (DMSO) were received from spectro chem.

Instruments

Incubator were recorded from TECHNICHO ltd.

Procedure

Antimicrobial activity

Minimum Inhibitory Concentration Test (MIC)

The antimicrobial activity of the synthesized compounds were studied against Staphylococcus aureus, Bacillus subtilis (Gram-positive), Escherichia coli, Proteus mirabilis (Gram-negative) and Aspergillus Niger (fungus) by using tube dilution assay[7]. At the lowest concentration of the test derivative that completely inhibit the growth of tested organisms was termed as minimum inhibitory concentration. Arrange 8 sterile test tubes in a rack and dispense 1 ml of sterile Muller-Hinton broth into each tube. Prepare a stock solution of the antimicrobial agent to make the serial dilution of 5μg/ml, 10μg/ml, 25μg/ml, 50μg/ml, 100μg/ml, 200μg/ml and 400μg/ml by using tube dilution assay. All the compounds were exhibited antibacterial activity(Table 1). The compound 1(DAILI) was emerged significant antibacterial activity against Staphylococcus aureus, Bacillus subtilis (gram positive organisms) at the concentration of 200μg/mL. The compound 3(DALII) were found effective against Staphylococcus aureus, Bacillus subtilis (gram positive organisms) at the concentration of 100μg/mL. The compound 1(DAILI) and 3(DALII) were found significant activity against Proteus mirabilis and Escherichia coli (gram negative organism).

Table 1: Antibacterial activity of 2,6 di-substituted piperidine 4-one derivatives

<table>
<thead>
<tr>
<th>S. No.</th>
<th>Sample Code</th>
<th>Minimum Inhibitory Concentration (μg/mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td>B. Subtilis</td>
</tr>
<tr>
<td>01</td>
<td>DAILI</td>
<td>200</td>
</tr>
<tr>
<td>02</td>
<td>DAILI</td>
<td>200</td>
</tr>
<tr>
<td>03</td>
<td>DALII</td>
<td>100</td>
</tr>
</tbody>
</table>

1. 50% active

Antifungal activity

The synthetic compounds were evaluated for antifungal activity against Aspergillus niger at the concentrations 5μg/ml, 10μg/ml, 25μg/ml, 50μg/ml, 100μg/ml, 200μg/ml and 400μg/ml by using tube dilution assay (Table no 2). The compound 1(DAILI) were not active and the compound 2(DAILII) were emerged significant antifungal activity against the Aspergillus niger. The compound 3(DALII) were found highly efficacy against Aspergillus niger at the concentration of 50μg/mL.
Table 2: Antifungal activity of 2,6 disubstituted piperidine 4 one derivatives

<table>
<thead>
<tr>
<th>S. No.</th>
<th>Sample Code</th>
<th>Minimum Inhibitory Concentration (µg/mL)</th>
<th>Aspergillus Niger</th>
</tr>
</thead>
<tbody>
<tr>
<td>01</td>
<td>DAAIL1</td>
<td>NA¹</td>
<td>1</td>
</tr>
<tr>
<td>02</td>
<td>DALIL1</td>
<td>100²</td>
<td>50</td>
</tr>
<tr>
<td>03</td>
<td>DAL II</td>
<td>50</td>
<td>50</td>
</tr>
</tbody>
</table>

1-Not active, 2- 50% active

DISCUSSION

The test compound were found to be active against gram positive bacteria, gram negative bacteria and fungus, among these the compound 1(DAAIL1) were emerged significant antibacterial activity against gram positive bacteria at the concentration of 200µg/mL. The compound 3(DAL II) were found to be moderate efficacy against Staphylococcus aureus, Bacillus subtilis (gram positive bacteria) at the concentration of 100µg/mL and highly efficacy against Aspergillus niger (fungus) at the concentration of 50µg/mL.

REFERENCES