SYNTHESIS AND ANTIBACTERIAL ACTIVITY OF SCHIFF BASED QUINOLINE DERIVATIVES

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ABSTRACT

5 novel quinolines (cb-1-5) derivatives are synthesized, characterized by the IR and screened for anti-microbial activity. The synthetic root of quinolines involves the reaction between substituted acetanalidie with vilsmayerhaack reagent (Pocl3, DMF). The formed 2-chloro-3-formylquinolines we are further treated with ammonia resulted in to 2-amino-3-formaldehyde quinolines. Further finally fused with various aryl amines to give 5 novel quinoline derivatives. Once compounds synthesized it is characterized and perform the anti bacterial activity. The compounds show the good antibacterial activity but less than that of standard Amoxicillin.

Key Words: Vilsmayer hack reagent, Quinoline derivatives, Schiff bases, Anti-bacterial activity.

INTRODUCTION

Quinoline or 1-aza-naphthalene or benzo[b]pyridine is nitrogen containing heterocyclic aromatic compound. It has a molecular formula of C9H7N and its molecular weight is 129.16. The logP value is 2.04 and a basic pKa of 4.85 and a basic pKa of 9.5. Quinoline is a weak tertiary base. It can form salt with acids and displays reactions similar to those of pyridine and benzene. It shows both electrophilic and nucleophilic substitution reactions. It is nontoxic to humans on oral absorption and inhalation.

Quinoline nucleus occurs in several natural compounds (Cinchona Alkaloids) and pharmacologically active substances displaying a broad range of biological activity. Quinoline has been found to possess antimalarial, antibacterial, antifungal, antihelmintic, cardiotonic, anticonvulsant, anti-inflammatory and analgesic activity. A few promising compounds with quinoline ring system.

Quinoline (1-azanaphthelene or benzo[b]pyridine) is a stable base. Its derivatives represent the major class of heterocycles and a number of preparations have been known for a long time. The quinoline ring system occurs in various natural products, especially in alkaloids. The quinoline skeleton is used for many valuable synthetic agrochemicals and to design many synthetic compounds with diverse pharmacological activities.

Today Schiff bases are used as intermediates for the synthesis of amino acids or as ligands for preparation of metal complexes having a series of different structures. Many Schiff base complexes show excellent catalytic activity in various reactions at high temperature (>100 °C) and in the presence of moisture. Over the past few years, there have been many reports on their applications in homogeneous and heterogeneous catalysis, hence the need for a review article highlighting the catalytic activity of Schiff base complexes realized and thermo chromosome in the solid state by proton transfer from the hydroxyl (O) to the imine (N) atoms.

MATERIALS AND METHODS

All chemicals used were of analytical grade and purchased from SD Fine. Melting points of all the synthesized compounds were determined by open capillary tube method. The purity of all compounds was checked by TLC technique and spots were visualized using UV radiation/iodine chamber.

Scheme

The schematic representation of the procedure is as follows:

![Scheme Image]

**Note:** The scheme shows the synthesis of quinoline derivatives using Schiff bases and the Vilsmayer reaction.
Table 1: Compound Properties data.

<table>
<thead>
<tr>
<th>Compound Code</th>
<th>Structure</th>
<th>Mol. Formula</th>
<th>Mol. Wt</th>
<th>% Yield</th>
<th>M.P °C</th>
<th>Solubility</th>
</tr>
</thead>
<tbody>
<tr>
<td>CB-1</td>
<td><img src="image1" alt="Structure" /></td>
<td>C_{17}H_{11}ClN_2O</td>
<td>294.3</td>
<td>84</td>
<td>208-210</td>
<td>Ethanol</td>
</tr>
<tr>
<td>CB-2</td>
<td><img src="image2" alt="Structure" /></td>
<td>C_{17}H_{12}N_2O_2</td>
<td>276.2</td>
<td>75</td>
<td>240-242</td>
<td>Ethanol</td>
</tr>
<tr>
<td>CB-3</td>
<td><img src="image3" alt="Structure" /></td>
<td>C_{18}H_{14}N_2O_2</td>
<td>274.3</td>
<td>69</td>
<td>298-300</td>
<td>Ethanol</td>
</tr>
<tr>
<td>CB-4</td>
<td><img src="image4" alt="Structure" /></td>
<td>C_{17}H_{12}N_2O_2</td>
<td>276.2</td>
<td>73</td>
<td>270-272</td>
<td>Ethanol</td>
</tr>
<tr>
<td>CB-5</td>
<td><img src="image5" alt="Structure" /></td>
<td>C_{18}H_{14}N_2O_2</td>
<td>306.3</td>
<td>75</td>
<td>182-184</td>
<td>Ethanol</td>
</tr>
</tbody>
</table>

**Antibacterial activity**

Antibacterial activity of the synthesized compounds (CB-1-5) was determined in vitro using MIC (Agar Diffusion Method) against two pathogenic microorganisms viz., *Escherichia coli* and *Staphylococcus aureus*, at various conc. between 100 μg/ml to 600 μg/ml. CB-3 & CB-4 shown equipotent activity that is MIC 500μg and zone of inhibition of 3&5 mm respectively.

However, CB-1 show MIC 600μg and zone of inhibition is 3mm against Gram –ve *E.Coli* but none of the compounds shown higher activity than standard Amoxicillin.

All the three compounds were screened again gram + ve *S. Aureus* the result revealed that CB-1 posses greater activity when compared to CB-3 & 4 respectively, but however the MIC and zone of inhibition of 3 compounds were less when compared to standard Amoxicillin.

Table 2: Results of Anti Bacterial Activity by MIC Method for the Synthesized Compounds in μg/ml.

<table>
<thead>
<tr>
<th>S.no</th>
<th>Sample</th>
<th>Staphylococcus Aureus Concentration (μg/ml)</th>
<th>E.Coli Concentration (μg/ml)</th>
</tr>
</thead>
<tbody>
<tr>
<td></td>
<td></td>
<td>100</td>
<td>200</td>
</tr>
<tr>
<td>1</td>
<td>CB-1</td>
<td>0</td>
<td>0</td>
</tr>
<tr>
<td>2</td>
<td>CB-3</td>
<td>0</td>
<td>0</td>
</tr>
<tr>
<td>3</td>
<td>CB-4</td>
<td>0</td>
<td>0</td>
</tr>
<tr>
<td>4</td>
<td>STANDARD</td>
<td>17</td>
<td>20</td>
</tr>
</tbody>
</table>
CONCLUSION
Among synthesized compounds CB-3 & CB-4 shown equipotent activity that is MIC=500µg and zone of inhibition of 3 & 5mm respectively however CB-1 show MIC 600µg and zone of inhibition is 3mm.against gram–ve E.Coli but none of the compounds shown potent antibacterial activity than standard Amoxicillin. All the three compounds were also screened for antibacterial activity against gram + ve S. Aureus the result reveled that CB-1 posse’s greater activity when compared to CB-3 & 4 respectively, but however the MIC and zone of inhibition of 3 compounds were less than standard Amoxicillin.

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CONFLICT OF INTEREST
Authors declare no Conflict of Interest.

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