Research Article

Synthesis and Characterization of new Schiff base of Cefadroxil and study their antibacterial activity

ZIAD T. I. ALKAYAR,* MUAYED AHMED REDAYAN,*MOSTEFE KHALIDMOHAMME *
Department of Chemistry, College of Education for Pure Science, University of Diyala, Iraq
Email id :mredayan@gmail.com
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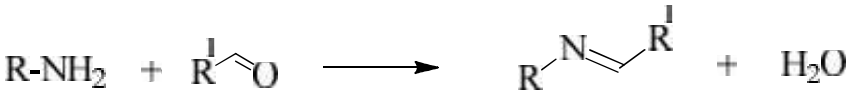
ABSTRACT
In this study a new imine derived from cefadroxil and some aldehydes were prepared, characterized and biologically screened. An amino group available in the cefadroxil was treated with, 4-Hydroxybenzaldehyde, Benzaldehyde, Salicylaldehyde, 2-Hydroxynaphtalaldehyde,2-Thiophenecarboxaldehyde, Acetaldehyde and 2-Thiophenealdehyd to obtain Schiff base. The biological activity was tested for the new compounds and show good activities in comparison to starting material. All synthesized compounds were scanned for their antibacterial activity toward gram-ve (A.baumannii and P.aeruginosa) and gram +ve(S.aureusand B.Subtilis) bacteria, the synthesized derivatives gave good to moderate activity toward both mentioned types of bacteria.

Key words: Schiff base, Synthesis, Antibiotic, 2-thiophenealdehyde,Cefadroxil

INTRODUCTION

The antibiotics was widely used in human and their huge prescriptions for the treatment of many infections have resulted in a problem of drug resistance.[1,2] However, the developments of antibiotics over the past few years have been one of the big tasks for the scientists.[3-6]The topic antibiotic is broad, penicillins and cephalosporins are two types of antibiotic both contain β-lactam. This group is the best known and most used, so attempts were carried out to modify their action and to overcome the problem of resistance. Many studies have been done and tried to improve the activity and broaden the spectrum of the drug. The best approaches were to synthesize different derivatives of the drugs.[7-13]Schiff base is class of compounds that contains imine group (-HN=C), this can be prepared by the condensation of amino group in primary with a carbonyl group of a ketones or aldehydes (scheme1). This can be a key step to synthesize a range of compounds that has biological activity.



Scheme 1 Schiff base formation

In this work we have synthesized some derivatives of cefadroxil and studied their antibacterial effect. Cefadroxil is a semi-synthetic cephalosporin, broad spectrum and given orally, so effective in gram-positive and gram-negative organisms. The IUPAC name is (6*R*,7*R*)-7-((*R*)-2-amino-2-(4-hydroxyphenyl)acetamido)-3-methyl-8-oxo-5-thia-1-azabicyclo[4.2.0]oct-2-ene-2-carboxylic acid. For the structure of cefadroxil see Figure **1**.

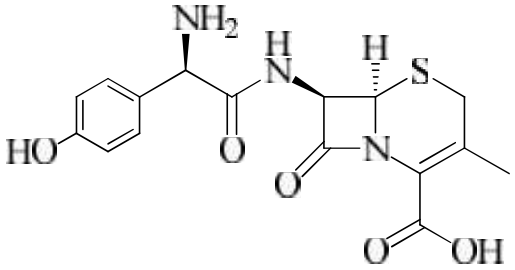


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Figure 1: The structure of cefadroxil

Experimental.

The Cefadroxil was purchased from Aurobindopharam and used without further purification. 2-thiophenecarboxaldehyde, 2-Hydroxy-1-naphthaldehyde, m-hydroxybenzaldehyde and acetaldehyde were bought from Fluka(LTD) and benzaldehyde, salicylaldehyde were obtained from Merck (LTD).Solvents and reagents have ob from markets and were of analytical grade. The purification and drying of the solvent has done by standard methods.Infrared spectra were obtained in KBr disc using (FT-IR8300) Shimadzu spectrophotometer in the range 4000-400 cm^{-1} region. TLC was carried out using silica plates, visualising by UV irradiation at 254 nm and staining with an alkaline aqueous of potassium permanganate dip.

Synthesis of Schiff base

A solution of aldehyde (2.0 mmol) in MeOH (12.0 mL) was added to a mixture of