

University of Basra
College of Pharmacy
pharmaceutical chemistry Department

***Synthesis , characterization and anti
inflammatory activity of some Schiff base
derivatives***

By the student :Isra'a Ibrahim

Supervisor: Dr. Seta Azad

Abstract

This research aims at the synthesis of a Schiff base out of a fairly common antibiotic amoxicillin and benzaldehyde and ampicillin and benzaldehyde.

The synthesized compounds were characterized by FTIR. They were also subjected to melting point determination, and TLC.

The Schiff bases were scanned for anti inflammatory effect.

Introduction

Schiff bases are an important class of organic compound, synthesized from condensation of primary amines with carbonyl groups. •

Structurally a Schiff base is a nitrogen analogue of a carbonyl compound in which the carbonyl group is replaced by an imine or azomethine group. •

Compound containing imines bases have not only found extensive application inorganic synthesis, but several of these molecules display significant biological activity. •

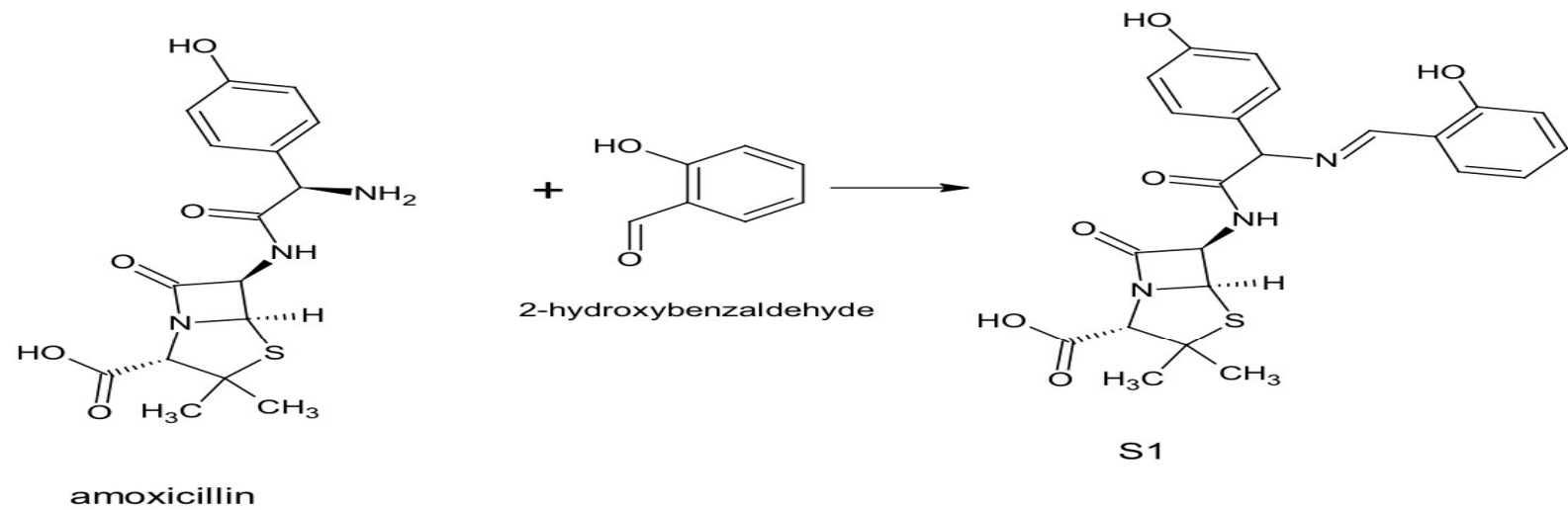
the last decade Schiff base ligands (**12-15**) have received more attention mainly because of their wide application in the field of catalysis and due to their antimicrobial, (**16-18**) anti-tuberculosis and anti-tumor activity. •

Researches found that the Schiff base complexes derived from •
amoxicillin having good antibacterial activity in good range when
comparison to control (Amoxicillin)

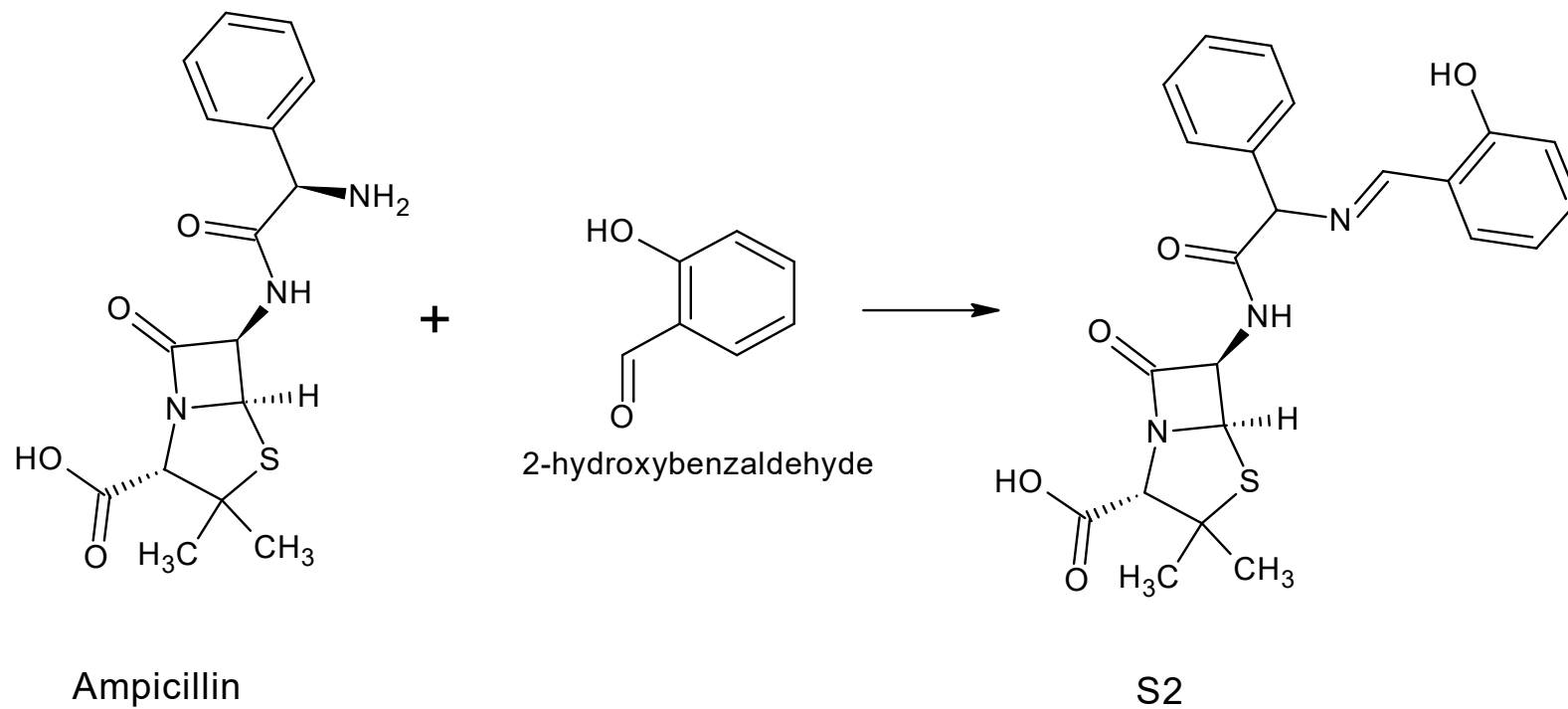
We also investigated for the anti inflammatory activity of the •
ampicillin and amoxicillin and its Schiff bases. We found that they
have some anti inflammatory activity as discussed later.

General procedure for synthesis of Schiff bases of β -lactams:

Schiff bases were prepared by mixing an equimolar quantity of ampicillin or amoxicillin (8)(1 mmole) with 4-hydroxybenzaldehyde (1 mmol), individually, in 35 ml of methanol containing 1 ml of 10% ethanolic solution of NaOH in the 100 ml round flask. The reaction mixture was refluxed for 6 h and monitored by TLC(thin layer chromatography).. The obtained precipitate was separated and washed several portions of hot water. The product was recrystallized from ethanol and the identification was tested byTLC.



.Scheme (1) Synthesis of Amoxicillin Schiff base



Scheme (2) Synthesis of Ampicillin Schiff base.

Results & Discussion:

Physical properties:

Compound	Color	Melting point	Eliuent	Rf	Yield
Amoxicillin Schiff base (S1)	Brown solid	116-118C	2methanol:3dichloromethane	0.77	63%
Ampicillin Schiff base (S2)	Yellow solid	130-134 C	1methanol:4dichloromethane	0.2	68%

Table1:Physical properties of S1&S2 compounds

- We notice that the yield S1 is brown in color while S2 has a yellowish color. Melting point for both compounds was obtained to ensure the purity of them. Thin layer chromatography also needed during condensation to make sure that the products are formed.



Figure 1: Synthesis compounds

FT_IR: We noticed that the -NH₃ stretch is absent because of formation of C=N in both S1 & S2

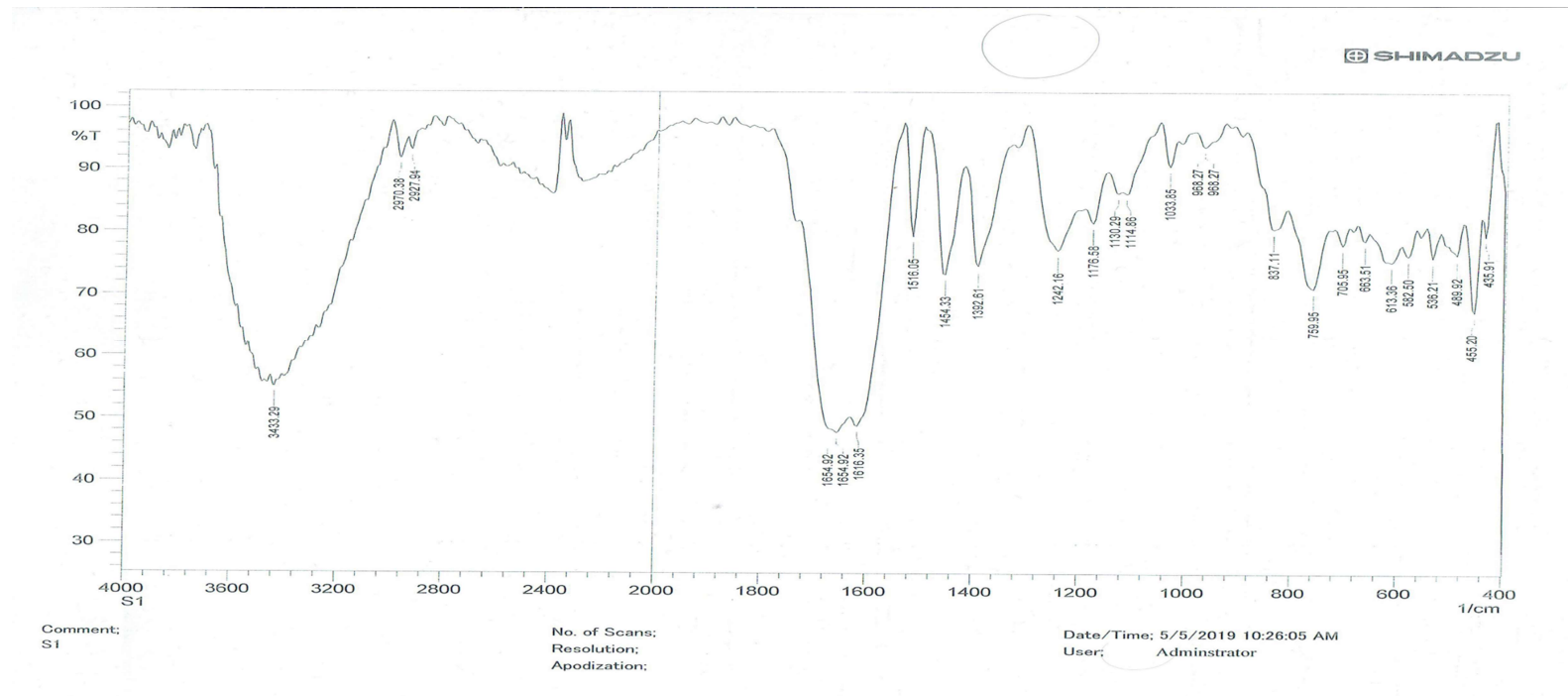
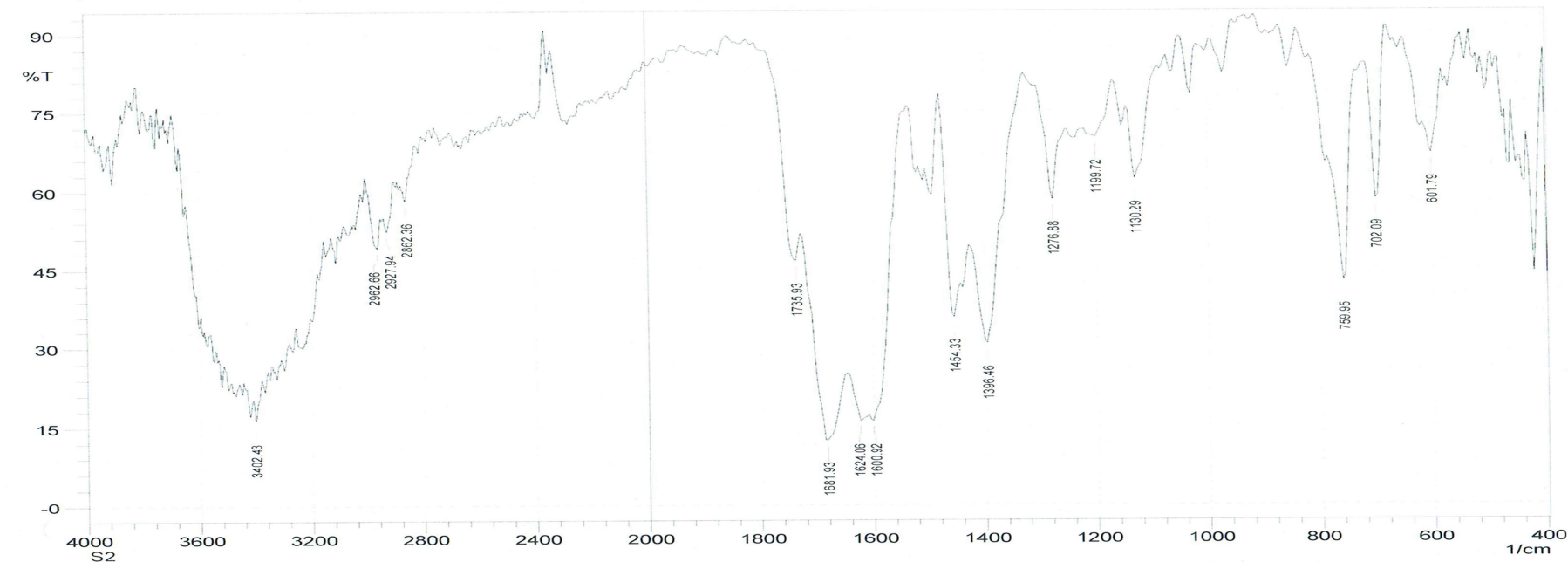


Figure2 :FTIR of S1.

	Assignment (cm-1)			
Azomethine C=N	ArC=C	Ar-OH	Aliphatic C-H	Ar-H
1654	1516	3433	2927-2090	3050

Table2:FTIR of S1 compound



Comment:
S2

No. of Scans;
Resolution;
Apodization;

Date/Time; 5/5/2019 10:09:09 AM
User; Administrator

Figure3 :FTIR of S2

		Assignment (cm-1)		
Azomethine C=N	Ar-C=C	Ar-OH	Aliphatic C-H	Ar-H
1681	1454	3402	2862-2962	3060

Table3:bands of S2

In vitro anti-inflammatory activity(23)

Blood was collected from healthy volunteers and was mix with equal volume of sterilized Alsever's solution.

The blood solution was centrifuge at 3000 rpm and the packed cells were separate.

The packed cells were wash with isosaline solution and 10% v/v suspension was complete with isosaline.

Alsever's solution were prepare of 2.05% glucose, 0.42% NaCl, 0.8% trisodium citrate, 0.055% citric acid, all dissolved in water.

This solution was using for storage RBC.

Other solution were using in this method Hypo-saline (0.7% NaCl), Isosaline (0.9% NaCl), phosphate buffer (pH 7) and ethanol.

All the assay mixtures were incubate at 37°C for 30 minutes and centrifuged at 3000 rpm for 10 min.

The supernatant liquid was decanted and haemoglobin content was estimate by spectrophotometer at 560 nm.

The percentage hemolysis was estimate by assuming the hemolysis produced in the control as 100%, according to following equation.

Percentage of protection=(Absorbance of sample/Absorbance of control) x100%

	Test	Control
Sample	50mg	
Water or ethanol	1ml	1 ml
Buffer	1ml	1ml
Hypo-saline	2ml	2ml
HRBC	0.5ml	0.5ml

Compound	%percent protected
Amoxicillin	17.22%
Amoxicillin Schiff base(S1)	34.42%
Ampicillin	0%
Ampicillin Schiff base(S2)	30.48%

Table5:anti inflammatory activity of S1&S2



CONCLUSION:

In conclusion the present study was, firstly, to synthesis of novel derivative of amoxicillin and ampicillin .The physical characteristic of the two Schiff bases were obtained. The molecular structure of new compound was characterized by spectroscopic methods(FT-IR). The Synthesized compound was investigated for in vitro anti inflammatory

Thank you for listening