

Synthesis, Characterization and Antimicrobial activity of a Novel Dapsone Schiff Base.

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Abstract:

The dapsone Schiff base 4-(4-(3-Hydroxyphenylmethyleneaminephenylsulfonyl)-N-(3-Hydroxyphenylmethylene) Benzamine was synthesized and characterized by FT-IR and UV-Vis. The antifungal and antibacterial reveals the activity of the compound. The Schiff base has the highest inhibition zone on *staphylococcus aureus* but it was slightly weaker than the standard drug used.

Keywords antimicrobial activity, Schiff base 4,4,3-(HPMAPS-N-3-HPM) benzamine, absorption spectra, dapsone.

Introduction

Schiff bases generally are known to possess both antifungal and antibacterial activity. The development of bioinorganic field has increased the interest in Schiff base complexes as they may serve as models for biologically important compounds and bioinorganic processes. P.k Panchal et al (2006)

Many reported research work shows that Schiff bases if complexed with metals are more biologically active than free ligands; this may be due to chelation, which reduces the polarity of metal ions. This increases the hydrophobic character of the metal chelate and favors the penetration through lipid layers of the micro-organism membrane. P.J. Sadler (2007).

The present study deals with the synthesis and characterization of Schiff base derived from the condensation of dapsone with meta hydroxyl benzaldehyde.

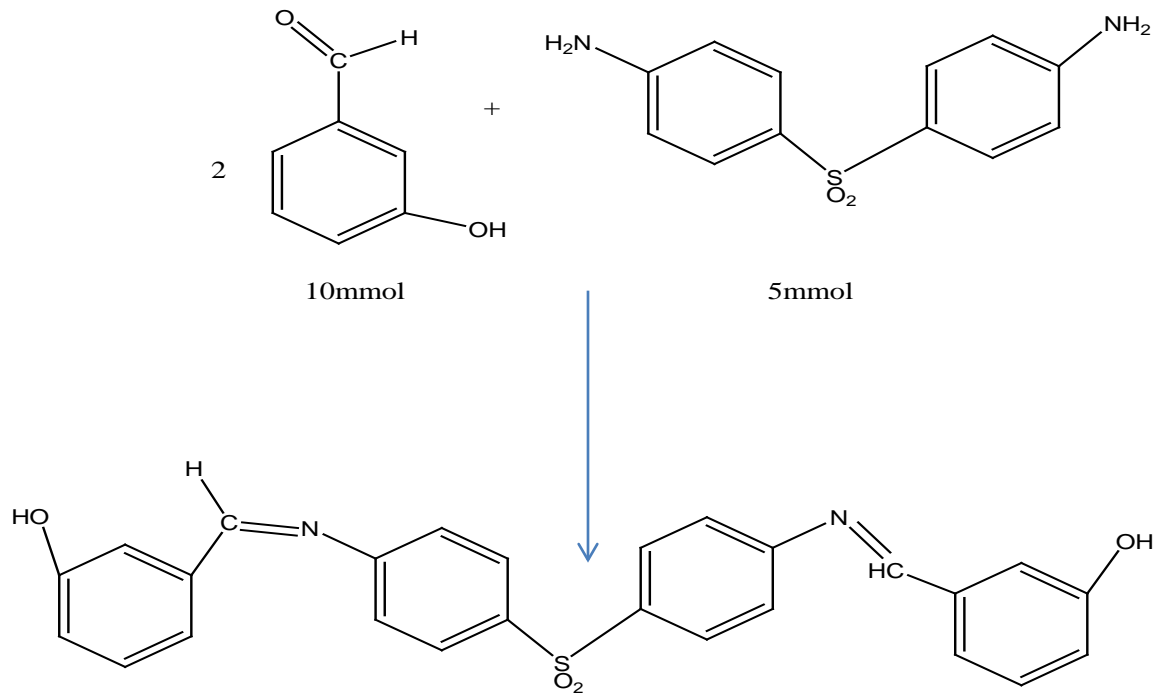
Materials and method

The solvents used were all distilled severally to spectroquality grade and are gotten from the Chemical store, University of Ibadan. The dapsone was supplied by Seglol Chemicals, Nigeria. It was used without further purification. All weighing were carried out on OHAUS chemical balance.

Infrared (IR) spectrum was obtained as a KBr pellet using FT-IR infrared spectrophotometer. The spectrophotometric analysis of the dilute solution of synthesized Schiff base was done in each of the solvents, in the concentration range of (10^{-4} - 10^{-5}) M using Perkins-Elmer Lambda 25 double beam UV- visible spectrophotometer. One of the pair of matched quartz cuvettes contained the solvent in the reference compartment of the spectrophotometer and the other in the sample compartment contained dilute solution of known concentration. The optical observation was done in the UV region and the spectra were scanned at room temperature in the range of 190 – 550nm for both the solution and the solvent whose absorption serves as blanks for the solution absorption.

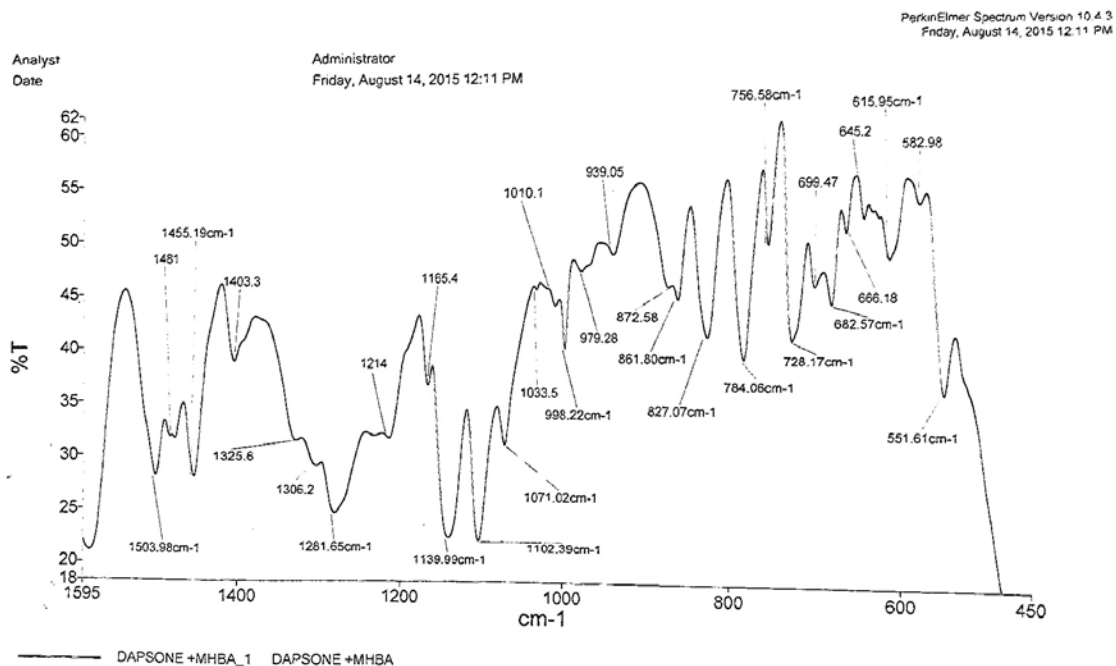
Synthesis of the compound

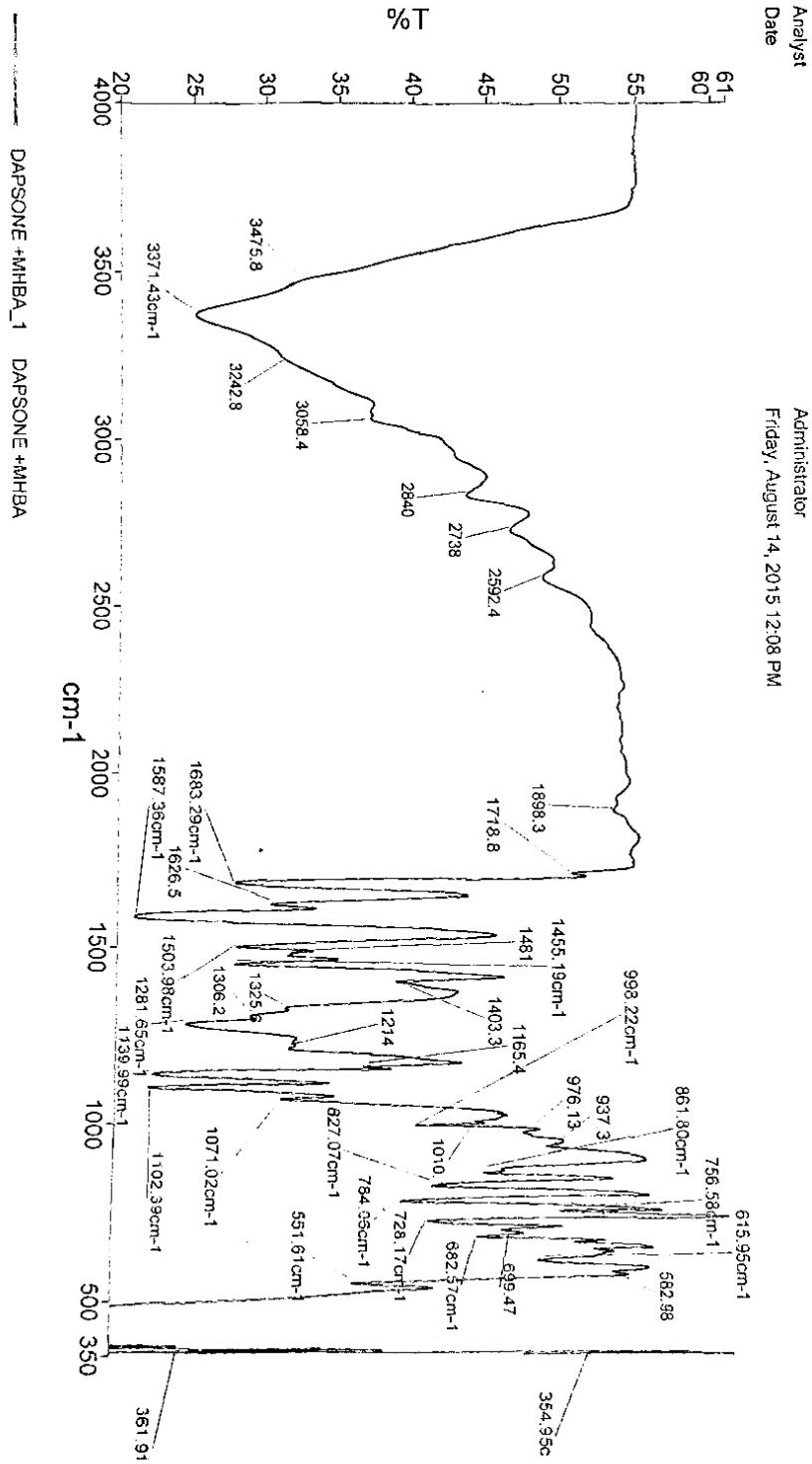
About 10mmol of meta-hydroxylbenzaldehyde equivalent to 1.22 g was weighed and quantitatively transferred into 15ml beaker and dissolved in 2ml alcohol. A colorless solution was obtained. 5 mmol of dapsone equivalent to 1.2451g was also dissolved in 10ml alcohol solution, warmed for 1 minute for complete dissolution of the powder. The two solutions were mixed together, refluxed for 4 hours for the reaction to complete.



4-(4-(3-Hydroxyphenylmethyleneaminephenylsulfonyl)-N-(3-Hydroxyphenylmethylene)benzamine

Infrared Spectra





PerkinElmer Spectrum Version 10.4.3
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UV-vis analysis

The UV-vis analysis suggests the different possible shifts in both polar and non-polar solvents and three prominent bands were observed. Methanol, ethanol, propan-2-ol and 1,2-dichloroethane were used.

In both band I and II ($S_0 - S_1$), ($S_0 - S_2$) respectively, as degree of polarity increases from non-polar to polar solvents, energy decreases. This increases the wavelength of absorption, red shift is observed. This suggests $\pi-\pi^*$ transition.

In band III ($S_0 - S_3$), there is increase in energy from non-polar to polar solvents. Wavelength decreases and blue shift is observed. This suggests $n-\pi^*$ transition.

Antifungal and antibacterial Activity Study

Preparation of graded concentration of the Schiff base for antimicrobial study

0.5g of the Schiff base was weighed and dissolved into the 5mls of the solvent of extraction for proper dissolution, from which 2.5mls was taken into another 2.5mls of the solvent(methanol), this was taken to the 6th test tube which was the last test tube for the extract. The 7th and 8th test tube were negative and positive control (methanol and gentamycin) for bacteria, (methanol and ticonazole) for fungi control of the experiment.

The antibacterial activity study of the Schiff base was studied by pour plate method for bacteria and surface plate method for fungi. The fungi were cultured on a sterile sabouraud dextrose agar (62g/L). The antifungal activities were tested for their in vitro growth inhibitory against the pathogenic fungal strains *Aspergillus niger*, *Candida albicans*, *Penicillium notatus* and *Rhizopus stoloniger* while the antibacterial activities for bacteria strains *Staphylococcus aureus*, *Escherichia coli*, *Bacillus subtilis*, *Pseudomonas aeruginosa*, *Salmonella typhi* and *Klebsiella pneumoniae* were also studied.

Surface plate method

A sterile sabouraud dextrose agar was prepared accordingly and aseptically poured into the sterile plates in duplicates and allowed to set properly. 0.2ml of 10^{-2} of the agar using sterile spreader to cover all the surface of the agar. The wells were made using a sterile cork borer of the 8mm diameter. In each well, the graded concentrations of the extract was introduced into the wells including the controls. The plates were left on the bench for 20mins so as to allow extract to diffuse properly into the agar. The plates were incubated uprightly in the incubator for 48hrs at 26-28°C

Pour plate method

An overnight culture of each organism was prepared by taken a loop full of the organism from stock and inoculated each into the sterile nutrient broth of 5mL each incubated for 18-24hrs at 37°C. From overnight culture 0.1ml of each organism was taken and put into 9.9mL of sterile distilled water to get 1 ratio 100 (10^{-2}) of the dilution of the organism.

From the diluted organism (10^{-2}) 0.2mL was taken into the prepared sterile nutrient agar which was at 45°C, then aseptically poured into sterile petri dishes, allowed to solidify for about 45-60mins. Using a sterile cork borer of 8mm diameter, the wells were made according to the number of graded concentration of the Schiff base. In each well, the different graded concentrations of the sample were produced, this was done in duplicates. The plates were allowed to stay on the bench for 2hrs to allow pre-diffusion. The plates were incubated uprightly in the incubator for 18-24hrs at 37°C

Result and discussion

The Schiff base shows variable antibacterial and antifungal activities (Table 1) against the bacteria and fungi strains. The bacteria plates were observed after 24hrs of incubation. It was observed that there were clear zones of inhibition on some plates of higher concentration and little zones of inhibition at the lower concentration.

By careful study of the result obtained, we can see that the Schiff base showed remarkable inhibitory effect against the tested organisms. Results were compared with standard drug Gentamicin for bacteria and Tioconazole for fungi.

TABLE 1

Antimicrobial activity of the novel dapsone Schiff base.

	S.a	E.c	B.sub	Ps.a	Sal	Kles	C.a	A.u	Pen	Rhiz
1	32	30	28	30	30	28	20	18	16	16
2	28	26	24	26	24	24	18	16	14	14
3	24	22	20	22	20	20	16	14	12	12
4	20	18	16	20	18	18	14	12	10	10
5	16	14	14	16	14	14	12	10	-	-
6	12	10	10	14	10	10	10	-	-	-
-Ve	-	-	-	-	-	-	-	-	-	-
+Ve	38	38	40	38	38	40	28	26	28	28

Highly active = inhibition zone > 20mm

Moderately active = inhibition zone >15

Slightly active = inhibition zone >10mm

Inactive = --(No inhibition zone)

Concentrations prepared for the inhibitory study.

1=100mg/mL

2=50mg/mL

3=25 mg/mL

4=12.5 mg/mL

5=6.25 mg/mL

6=3.125 mg/mL

-Ve= Methanol

+Ve= (Standard) Gentamicin 10ug/ml Bacteria and Tioconazole 70% Fungi

Conclusion

In this study, we have reported the synthesis and characterization of the novel dapsone Schiff base. The structure of the compound was analyzed based on FT-IR spectra and its transitions in different solvents were suggested. The biological activity test for the Schiff base against the tested organisms show that it has a relatively high and weak inhibitory activity on bacteria and fungi respectively when compared with standard drug.

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