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Synthesis and Antibacterial Evaluation for Some New Schiff-bases Derived from P-aminoacetanilide

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Abstract

Derivatives of Schiff-bases possess a great importance in pharmaceutical chemistry. They can be used for synthesizing different types of bioactive compounds. In this paper, derivatives of new Schiff bases have been synthesized from several serial steps. The acid (I) was synthesized from the reaction of dichloroethanoic acid with 2 moles of p-aminoacetanilide. New acid (I) converted to its ester (II) via the reaction of (I) with dimethyl sulphate in the present of anhydrous of sodium carbonate and dry acetone. Acid hydrazide (III) has been synthesized by adding 80% of hydrazine hydrate to the new ester using ethanol as a solvent. The last step included the preparation of new Schiff-bases (IV-VIII) by the reaction of acid hydrazide with appropriate aromatic aldehydes and using glacial acetic acid as a catalyst. New derivatives were diagnosed by FT-IR, and by mass and 1HNMR spectroscopy (some of them). Derivatives (IV-VIII) were screened for their antibacterial against E. Coli (G-) and staph. aureus (G+). All tested compounds were found to have activity against the two kinds of bacteria.

Keywords: Acid hydrazide, Biological activity, Dichloroacetic acid, p-aminoacetanilde, Schiff- bases.

Introduction

Schiff-bases, like aldehydes and ketones where the C=O group is replaced by azomethine group or an imine group. These compounds were prepared by the German scientist Hugo Schiff for the first time from the condensation of primary amine with aldehyde or ketone and under specific conditions, so they were called Schiff bases[1]. Suyambulingam *et al* synthesized Schiff bases bearing benzothiazole from reaction of 2-amino-6-methylbenzothiazole with 5-bromo-2-hydroxybenzaldehyde [2]. Schiff bases have great importance and wide applications in various fields that have attracted the attention of chemists. They have the ability to produce complexes with transition metal ions[3,4] ,these complexes showed exceptional vital efficacy [5,6]. In industrial, Schiff bases have been used as corrosion inhibitors ,Charles *et al* synthesized new derivatives of Schiff bases with pyrrole ring shown effective in preventing corrosion of mild steel [7] .

Inter alia is used in crystal engineering, catalytic reactions and most commonly in medicine field [8]. The most important medical uses include: antifungal [9-11], anti-inflammatory [12], anticancer [13-15], antiviral[16], antibacterial [17,18], antipyretic and antimalarial [19]. This work includes new compounds of Schiff bases that were synthesized by a series of reactions starting with dichloroacetic acid and 2 moles of *p*-aminoacetanilde. The new compounds were diagnosed and their bacterial activity was studied.

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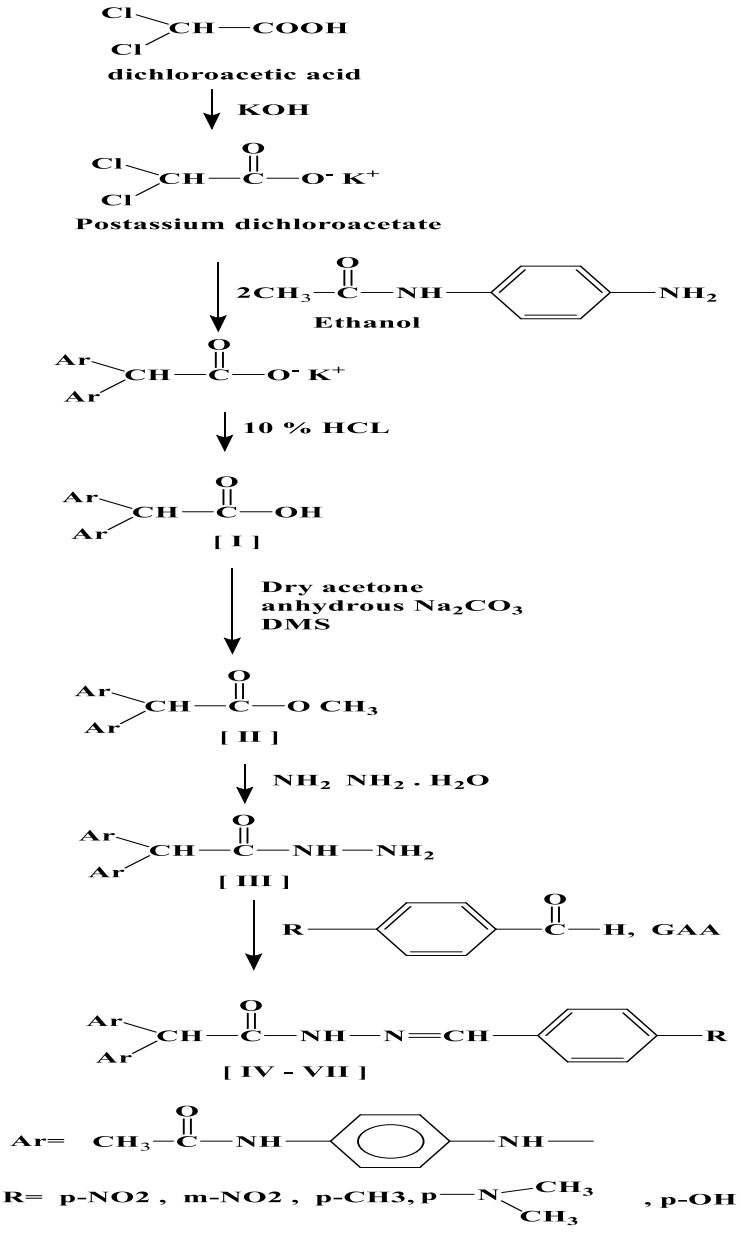
Materials and Methods

Chemicals:
The chemicals and solvents that were used in this work were supplied by Fluka, Aldrich, BDH and Merck companies.

Techniques:
Melting points were measured by Gallen Kamp melting device. The FTIR spectra recorded by Shimadzu FTIR 8400 spectrometer, using KBr disk. ^{1}HNMR spectra were measured with a Bruker device (400 MHz) in DMSO-d_6 solution with (Tetra Methyl Silane) as internal reference.
Mass spectra measured by QP mass spectrometer, Agilent Technology (HP).

Synthesis:
New compounds were prepared by following the steps according to Scheme 1, Nomenclature of new derivatives, physical properties and percentage of products are listed in Table 1.



Scheme 1.Synthesis of 2,2-bis ((4-acetamidophenyl) amino) Acetic Acid (I) [20]

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Dichloroacetic acid (1g, 7 mmol) was dissolved in 40ml ethanol, 0.4g, 7mmol, of KOH was added, the mixture was refluxed for 1 hour then p-aminoaceanilide (2.33g, 15 mmol) was added. The mixture was let to refluxed for 24 hours, monitored by TLC, R_f (0.54) (benzene: ethanol, 3:2) (v/v). Subsequently, 10% of HCL was added dropwise, the precipitate was collected by filtration and washed with ethanol to give (I). All of its physical properties are listed in Table 1.

Synthesis of Methyl-2,2- bis ((4-acetamidophenyl) Amino) Acetate (II) [21]

New acid (I) (2g,5 mmol) was dissolved in dry acetone (50 ml) with stirring then (0.59g, 5 mmol) of anhydrous sodium carbonate was added gradually. After 15 minutes (0.6) ml of dimethyl sulphate was added, then the mixture was refluxed for 24 hours. The solvent was removed under reduced pressure. Then the residue was diluted with water and then extracted by ethyl acetate three times (3×40ml). The organic layer was dried over anhydrous magnesium sulfate. The solvent was evaporated to get (II) as solid. The physical properties of the prepared ester were listed in Table 1.

Synthesis of N, N\-(((2-hydrazineyl-2- oxoethane-1, 1-diyl) bis (azanediyl)) bis (4,1- phenylene)) di-acetamide (III) [22]

The synthesized ester (II) (2g, 4.5 mmol) was dissolved in 50 ml ethanol (99%) with 0.4 ml hydrazine hydrate (80%) and let to refluxed for 24 hours (monitored by TLC). After cooling and filtration, a white precipitate of acid hydrazide (III) was obtained. All physical details were listed in Table 1.

Synthesis of Schiff Bases Derivatives (IV-VIII) [21]

The appropriate aldehyde 1.3 mmol was dissolved in 40 ml of absolute ethanol, then 2-4 drops of glacial acetic acid was added to the mixture and was left to be refluxed for five minutes, then (0.5gm, 1.3 mmol) of acid hydrazide (III) was added with continued reflux for 6 hours.
The colored precipitate was filtered and recrystallized from the appropriate solvent. All physical details are listed in Table 1.

Biological Activity

Compounds (IV-VIII) were dissolved at 10% DMSO and tested against two types of bacteria**,** ***Escherichia coli*** and ***Staphylococcus aurous*****.** The experience was done by using Muller Hatton agar. On the surface of agar media microbial suspensions were spread. A stainless steel cylinder of 8mm diameter (pre - sterilized) was used to bore cavities. The plates were incubated at 37 °C for 24 hours. The zone of inhibition was measured in mm.

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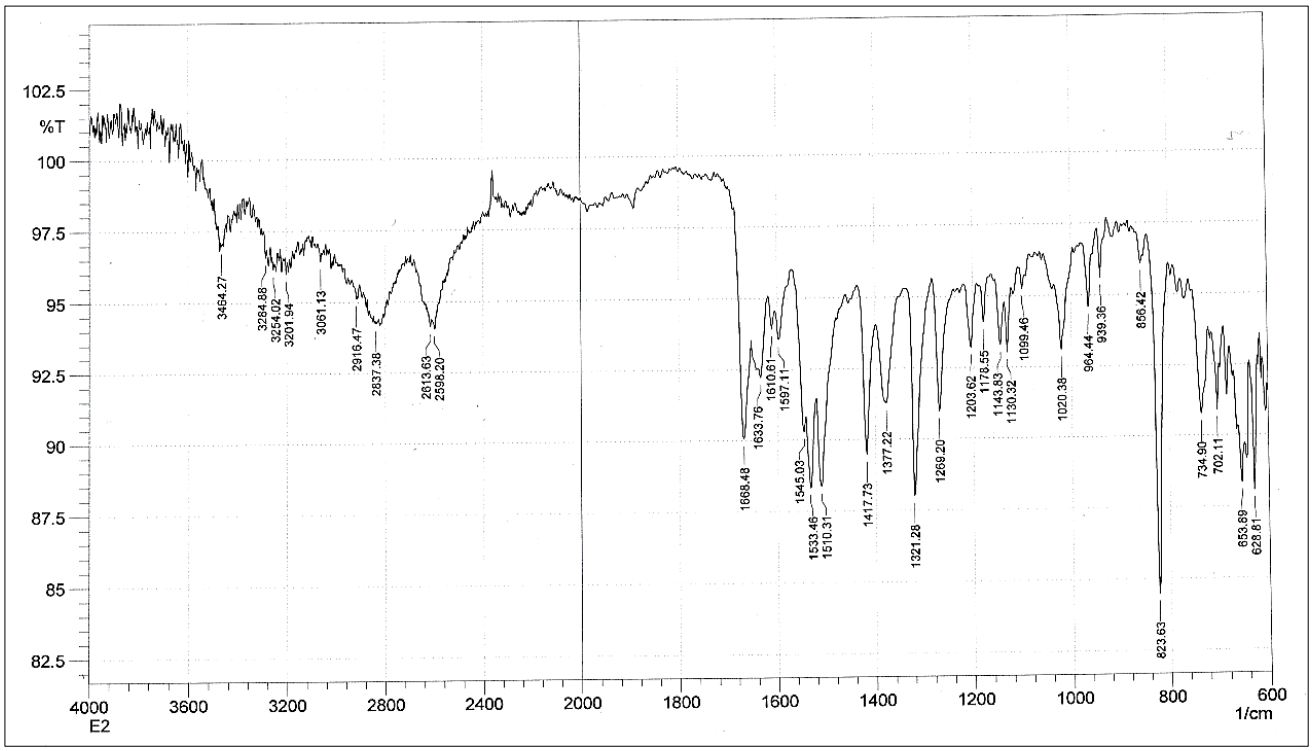

Figure 1. FTIR of comp. [I]

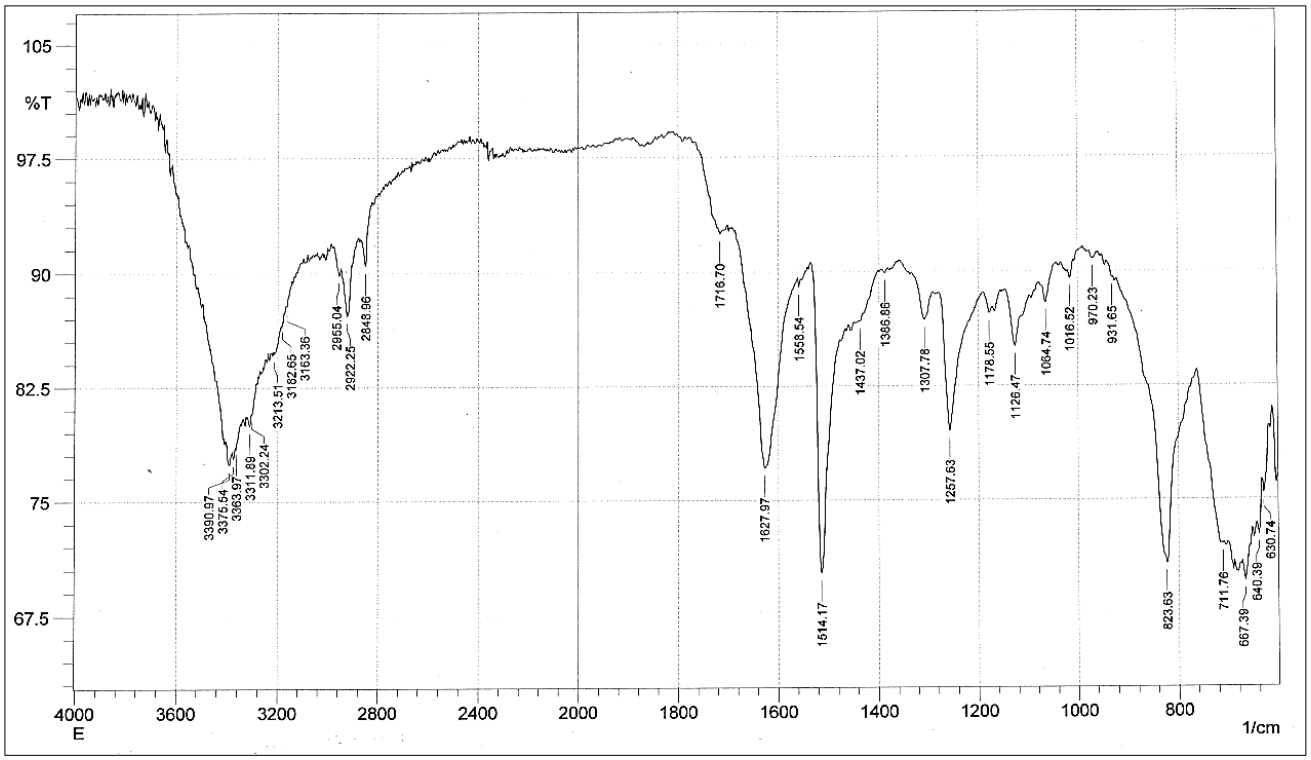

Figure 2. FTIR of comp. [II]

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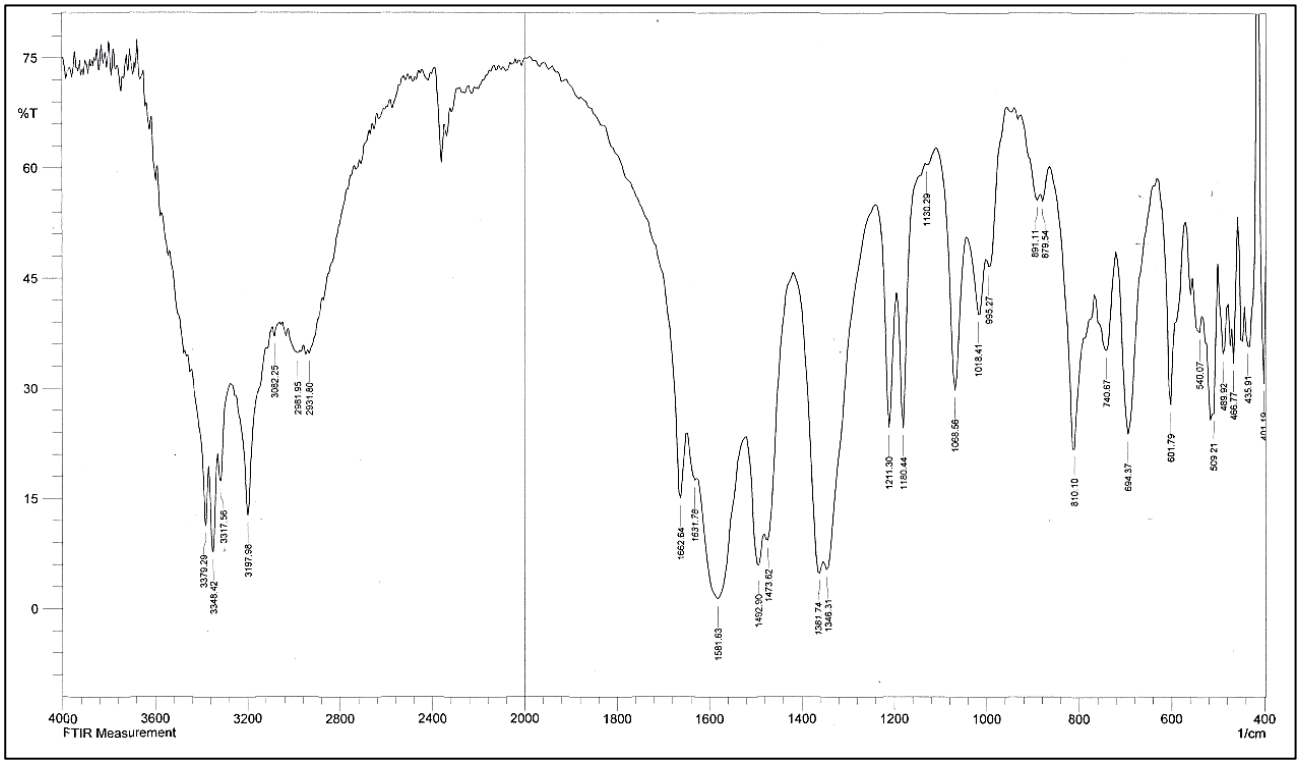

Figure 3. FTIR of comp. [III]

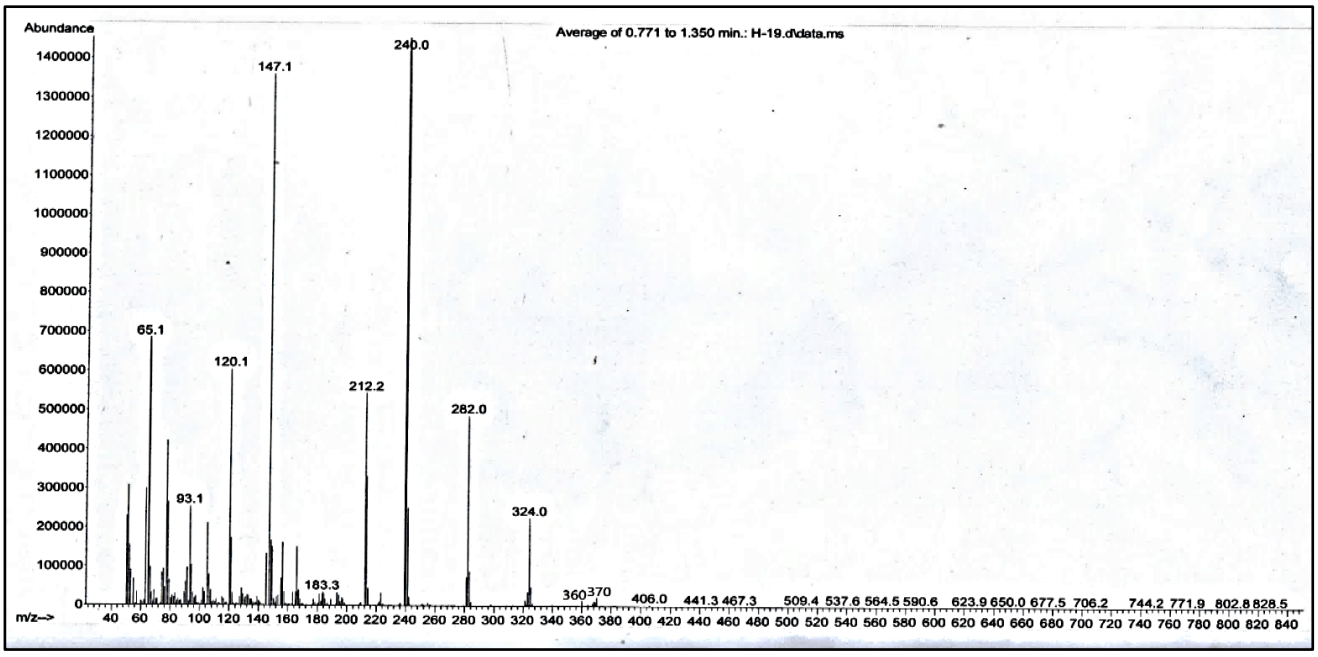

Figure 4. Mass spectrum of comp. [III]

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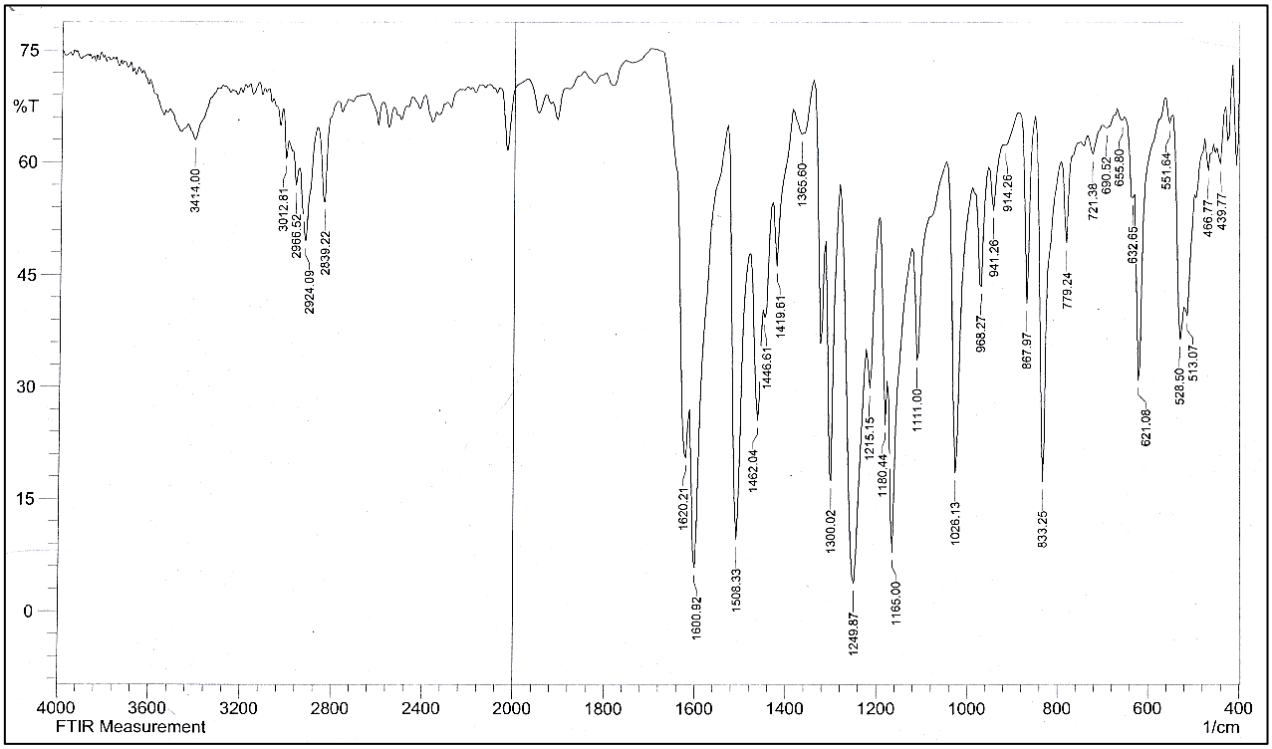

Figure 5. FTIR of comp. [VII]

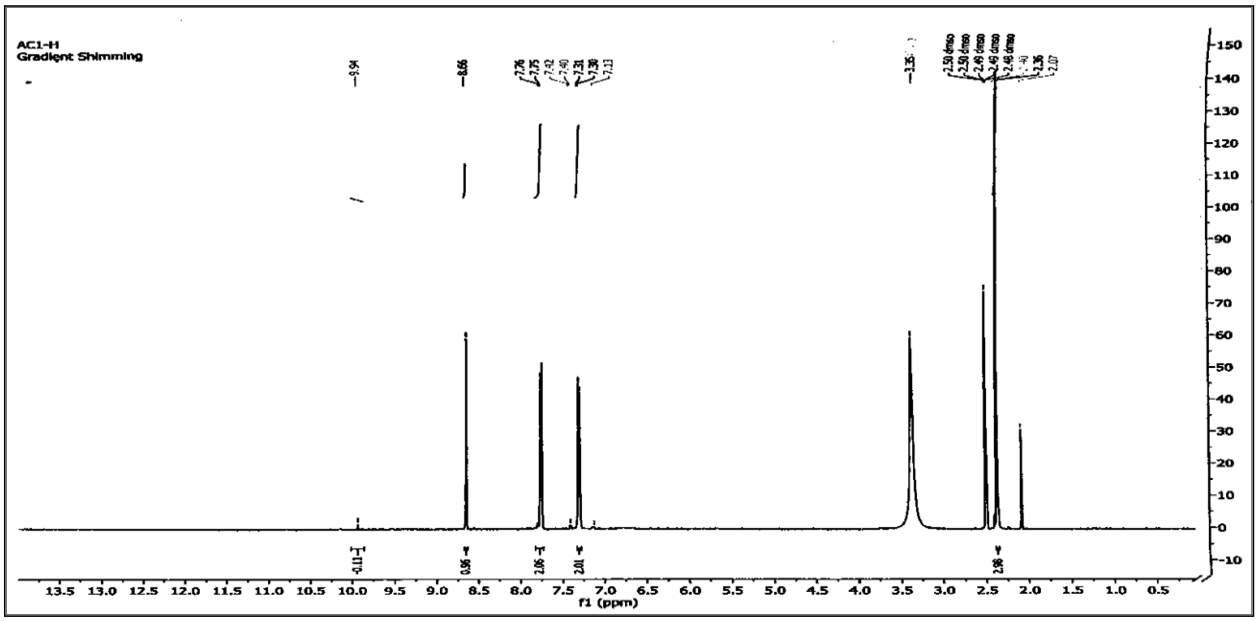

Figure 6. ^{1}HNMR spectrum of comp. [VI]

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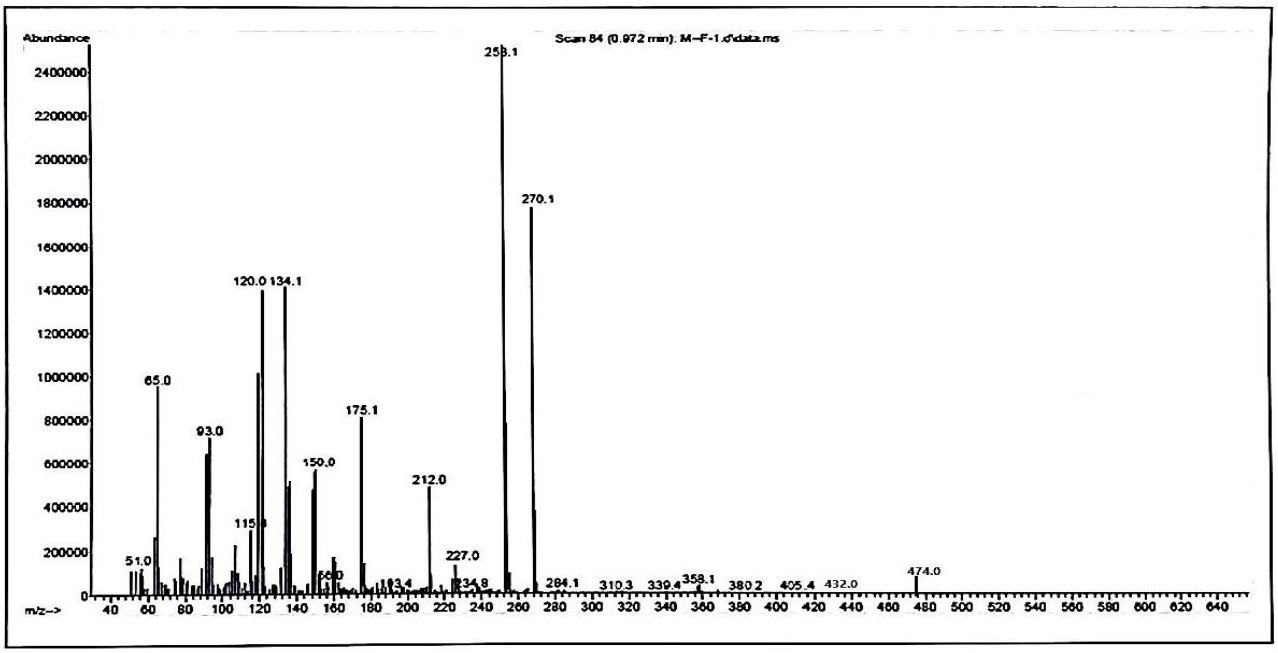
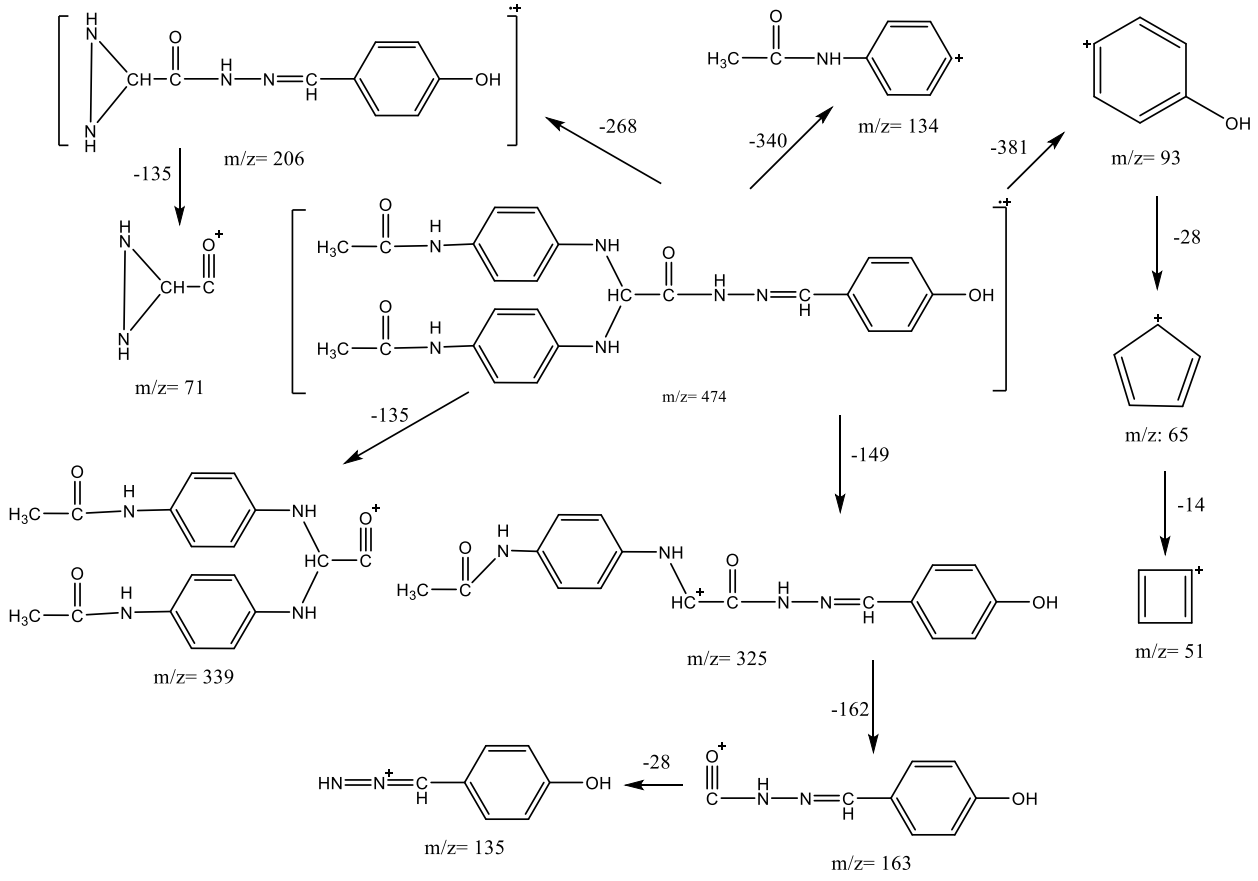


Figure 7. Mass spectrum of comp. [VIII]



Scheme 2. The mass fragments of comp. [VIII]

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Results and Discussion

The new acid (I)was prepared by the reaction of 2 moles of p-amino-acetanilide with one mole of potassium dichloroacetate. The reaction was carried out according to the S_N2 mechanism, in which the two chlorine atoms were replaced by two groups of p-amino- acetanilide with loss of two molecules of hydrochloric acid, as in Scheme 1.

The FT- IR spectrum of (I) showed featured bands at 3464 cm^{-1} for (NH) str. group, at the range 3284-3201 cm^{-1} assign to hydroxyl group (str.) for acid and at 1668 cm^{-1} relative to acid carbonyl group as in Fig. 1. The rest of important absorption band are listed in Table 2.

The reaction of acid compound (I) with dimethyl sulphate in alkaline medium and in the presence of dry acetone gave ester derivative (II). The FTIR spectrum for new ester display absence of absorption stretching bands (OH) and (C=O) for carboxylic moiety groups with present of new bands at 1716 cm^{-1} and 1257 cm^{-1} for (C=O) and (C-O) groups respectively, which assigned to ester moiety [20] as in Fig. 2.

Acid hydrazide (III) was synthesized by the reaction of hydrazine hydrate 80% with the ester derivative (II) in ethanal. FTIR spectrum, Fig. 3, of acid hydrazide (III) displayed stretching vibration asymmetry and symmetry at $3379 - 3197\ cm^{-1}$ for (NH_2-NH) and (Ar-NH) groups. Other important absorption stretching band has appeared at 1662cm^{-1} for the vibration of carbonyl group (amide).

Compound (III) was also characterized by mass spectroscopy and gave the set molecular weight at $m/z = 370$ as in Fig. 4. This supports the structural formula of both acid (I) and ester (II).

Schiff bases derivatives (IV – VIII) were synthesized by the reaction of acid hydrazide (III) with appropriate aldehydes in absolute ethanol as a solvent and few drops of glacial acetic acid. The new Schiff bases were identified by FTIR and some of them by ^{1}HNMR and mass spectroscopy.

FTIR spectra of these new Schiff bases revealed new absorption bands due to imine group at the range $1593 - 1612 cm^{-1}$. The rest of the absorption bands are listed in Table 2, Fig. 5 represents the FTIR spectrum of the derivative (VII). The ^{1}HNMR for compound (V) has been shown σ of 2.08 ppm (1H,s,CHCO), σ of 3.37 ppm (6H,s,CH_3CO) which interferes with 2H of (ArNH), σ of 8.76 ppm (3H,s,NHCO), σ of 7.84-8.76 ppm (12H aromatic) and 1H (imine group) and at σ of 8.93 ppm (3H,s,NHCO). ^{1}HNMR for compound (VI). Fig.6, shows signals at σ of 2.07 ppm (3H,s,p-methyl), σ of 2.36 ppm (6H,s,CH_3CO) , σ of 2.4 ppm (1H,s,CHCO) , σ of 3.35 ppm (2H,s, 2ArNH) , σ of 7.3 - 8.66 ppm (12 H aromatic) with 1 H for (N=CH), and at σ of 9.9 ppm (3H,s,NHCO) [20]. ^{1}HNMR of comp. (VII) displayed many signals at σ of 3.99 ppm (6H,s,CH_3CO), σ of 2.97ppm (1H,s,CHCO), σ of 2.48ppm (6H,s, NMe_3), σ of 3.32ppm (2H,s,ArNH), σ of 6.75-7.65 ppm (12H aromatic) and1H (N=CH) imine group[22], σ of 9.8 ppm (3H,s ,NHCO). Comp. (VIII) was characterized by mass spectroscopy, which gave the set molecular weight of m/z 474 Fig. 7. Scheme 2 illustrates the fragments of derivatives (VIII). The vital efficacy of Schiff bases derivatives was tested against two types of bacteria *E. coli* and *Staphylococcus aureus*. Derivatives (IV-VIII) has showed clear activity against these two types of bacteria, Table 3.

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Table 1. Nomenclature and physical properties of comp. (I- VIII).

Comp. No.	Nomenclature	Molecular Formula	Molecular weight g/mole	Rate of flow in tlc ,R_f (solvent v/v)	Melting points C°	Yield %	Solvent recrystallization	Color & Physical state
I	2,2 −bis((4 − acetamido − phenyl)amino)acetic acid	$C_{18}H_{20}N_4O$	356	0.45 (Benzene:Ethanol, 3:2)	217-220	85	Acetone	Brown crystalline
II	Methyl- 2,2- bis ((4 − acit − amidophenyl)amino)acetat	$C_{19}H_{22}N_4O$	370	0.73 (Ethylacetate)	96-98	72	Ethanol	Brown powder
III	N, N′ − (((2 − hydrazineyl − 2 − oxoethane − 1,1 − diyl)bis (azanediyl))bis (4,1 phenylene)) diacetamide	$C_{18}H_{22}N_6O$	370	0.51 (Benzene:Ethanol, 3:2)	> 300	65	Ethanol	White crystalline
IV	N, N′ − (((2 − (2 − (4(4 − nitro) benzylidene) hydrazi −2 − oxo − ethane − 1,1 diyl) bis (azanediyl)) bis (4,1 − phenylene)) diacetamide	$C_{25}H_{25}N_7O$	503	0.49 (Benzene:Methanol,3:1)	273-275	75	Ethanol	Yellow powder
V	N, N′ − (((2 − (2 − (4(3 − nitro) benzylidene) hydrazi −2 − oxoethane − 1,1 diyl) bis (azanediyl)) bis (4,1 − phenylene)) diacetamide	$C_{25}H_{25}N_7O$	503	0.63 (Benzene:Methanol,3:1)	160-163	78	Ethanol	Mustard powder
VI	N, N′ − (((2 − (2 − (4 − (methyl)benzylidene)hydra −2 − oxoethane − 1,1 − diyl)bis (azanediyl)) bis (4,1 − phenylene)) diacetamide	$C_{26}H_{28}N_6O$	472	0.6 (Benzene:Ethanol, 3:1)	130-132	82	Methanol	Mustard powder
VII	N, N′ − ((2 − (4 −(dimethylamino) benzylidene)hydrazinyl) − 2 − oxo ethane − 1,1 − diyal) bis (azanediyl)) bis (phenylene)) diacetamide	$C_{27}H_{31}N_7O$	501	0.45 (Benzene:Methanol,3:1)	232-235	78	Ethanol	Orange powder
VIII	N, N′ − (((2 − (2 − (4 − (hydroxy) benzylidene)hydrazinyl) − 2 − oxoethane − 1,1 − diyl)bis (azanediyl)) bis(4,1 phenylene))diacetamide	$C_{25}H_{26}N_6O$	474	0.39 (Benzene:Methanol,3:1)	290-292	72	Methanol	Yellow powder

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Table 2. The FTIR data of compounds [I-VIII]

Comp. No	υ (CONH)	υ (C − H) Arom.	υ (C − H) Aliph.	υ (C = O) Amide	υ (C = N) Imine	υ (C = C) Arom.	υ Others
I	3061	3061	2916,2837	1633	-	1597	(NH) str. 3464 (OH) str. acid (3284-3201) (C = O) str acid 1668 (C − N) 1321
II	3182	2955	2922,2848	1627	-	1558	(NH) str. 3390 (C = O) str. ester 1716 (C − O) str. ester 1257 (C − N) str. 1307
III	3197	3082	2981,2931	1662	-	1581	(NH_2) asym. and sym.,(NH) at range (3379 − 33170)
IV	3115	3045	2960,2933	1667	1593	1558	(NH) str 3415 (NO_2) asym. (1516) and sym. (1342) (C − N) 1290
V	3185	3086	2924,2823	1627	1610	1595	(NH) str. 3360 (NO_2) sym. (1527) and sym (1354) (C − N) 1311
VI	3140	3082	2912,2804	1620	1600	1550	(NH) str. 3390 (C − N) 1300
VII	3150	3035	2927,2839	1620	1600	1508	(NH) str. 3395 (C − N) 1300
VIII	3135	3038	2926,2840	1625	1612	1538	(NH, OH) 3415-3340 (C − N) 1310

Table 3. The results of the vital effectiveness ofthe derivatives (IV-VIII)

Compound No.	*Escherichia coli (G-)* (mm)	*Staphylococcus aurous G+* (mm)
IV	8	17
V	6	15
VI	16	18
VII	7	10
VIII	10	14

Key of the Table 3: high active = 16 - 20 mm
good active = 10 – 15 mm
low active = 6 – 9 mm , control = 5 mm

Conclusion

New derivatives of Schiff bases were prepared in this study, from the reaction of dichloroacetic acid with p-amino-acetanilide in successive steps. Most of the prepared compounds were diagnosed by FTIR, while some of them by mass and ^{1}HNMR spectroscopy. The biological efficacy of Schiff bases was studied, and all of them showed their effectiveness against selected bacteria.

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Authors' Declaration

- Conflicts of Interest: None.
- I hereby confirm that all the Figures and Tables in the manuscript are mine. Furthermore, any Figures and images, that are not mine, have been included with the necessary permission for re-publication, which is attached to the manuscript.
- Authors sign on ethical consideration's approval.
- Ethical Clearance: The project was approved by the local ethical committee in University of Baghdad.

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تحضير وتقييم الفعالية المضادة للبكتريا لبعض قواعد شف الجديدة المشتقة من بارا – امينواسيتنالايد

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الخلاصة

تمتلك مشتقات قواعد شف اهمية كبيرة في مجال الكيمياء الصيدلانية ويمكن ان تستخدم في تحضير مختلف انواع المركبات ذات الفعالية الحيوية. في هذا البحث تم تحضير مشتقات جديدة من قواعد شف بخطوات متسلسلة. الحامض الجديد (I) تم تحضيره من تفاعل ثنائي كلورواسيتك اسد مع مولين من بارا- امينو استانلايد. تم تحويل الحامض (I) الى الاستر (II) بمفاعلته مع كبريتات ثنائي مثيل وبوجود كاربونات الصوديوم اللامائية مع الاسيتون الجاف كمذيب. هيدرازايد الحامض (III) تم تحضيره من اضافة 80% من الهيدرازين المائي الى الاستر باستخدام الايثانول كمذيب. الخطوة الاخيرة تضمنت تحضير قواعد شف (VIII-IV) عن طريق تفاعل هيدرزايد الحامض مع الالديهايدات الاروماتية المناسبة مع استخدام حامض الخليك الثلجي كعامل مساعد. تم تشخيص المشتقات الجديدة بواسطة طيف الاشعة تحت الحمراء والبعض منها بواسطة طيف الكتلة وطيف الرنين النووي المغناطيسي. المشتقات (VIII-IV) تم فحص فعاليتها البكتيرية ضد بكتريا القولون وبكتريا المكورات العنقودية الذهبية. جميع المركبات التي تم فحصها اظهرت فعالية ضد هذين النوعين من البكتريا.

الكلمات المفتاحية: اسد هيدرزايد , الفعالية البايولوجية , داي كلورواسيتك اسد , بارا امينواستلديهايد , قواعد شف.