Synthesis and Characterization of Some Heterocyclic Compounds from 1-amino-2-naphthol-4-sulfonic acid and their antibacterial activity

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ABSTRACT:

This research includes synthesized of heterocyclic compounds of the interaction (1-amino-2-naphthol-4-sulfonic acid) with (isatin ,3-hydroxy-5-methyl benzaldehyde) These compounds were characterized by melting point and FT-IR spectroscopy.and the biologicl activity was evaluated against four kinds of bacteria two positive and two negative.

Keywords: *1-amino-2-naphthol-4-sulfonic acid, Schiff base, Oxazepine, Tetrazole, Imidazolidine, Biological activity.*

INTRODUCTION:

Schiff base are organic compounds possessing azomethine group which resulted from condensation of amine with aldehyde or ketone [(1)]

Azomethines play pivotal role as key intermediates inorganic synthesis particularly of heterocyclic compounds[(2,6)], Schiff bases are reported to show avariety of interesting biological activities, including antifungal, antimicrobial, anticancer and antitumor activities[(7)].

Oxazepine is seven member heterocyclic,unsaturated and non-aromatic ring[(8)] that contains two hetero atoms (oxygen and nitrogen) in ring[(9,10)], Oxazepine and their derivatives have afew important biological activities and pharmacological applications [(11)] .

Tetrazole are a class of heterocyclic compounds connotation of five-member ring with of four nitrogen atoms and one carbon atom and two hydrogen. The simplest one of the tetrazole itself CN_4H_2. It is white to pale yellow crystalline solid with weak featured odour, solube in alcohol and water . It is acidic in nature because of the presence of four nitrogen atoms[(12)] .

EXPERIMENTALSECTION

Materials:

Chemicals used during the current work are the 1-amino-2-naphthol -4- sulfonic acid, isatin, 3-hydroxy-5-methyl benzaldehyde ,maleic anhydride, sodium azide, tyrosine . In addition to use of ethanol absolute, dry benzene and THF as asolvent.

Instrumentation:

1-TLC Chromatography

2-M.P apparatus

3-IR Spectors

EXPERIMANTAL:

1-Preparation of Schiff bases (A1, A2)[13]

take (2.39gm.0.01mol) from 1-amino-2-naphthol-4-sulfonic acid then reacts with isatin(1.47gm,0.01mol) and 3-hydroxy-5-methyl benzaldahyde (1.36gm,0,01mol) was added in absolute ethanol(50ml) and then acatalytic amount of glacial acetic acid (two or three drops) was added at (55)C^0 this mixture was refluxed for 10 hrs. the mixture was cooled precipitate was obtained then rercystallized from ethanol.

2-Preparation of oxazepine (A3, A6)[14]

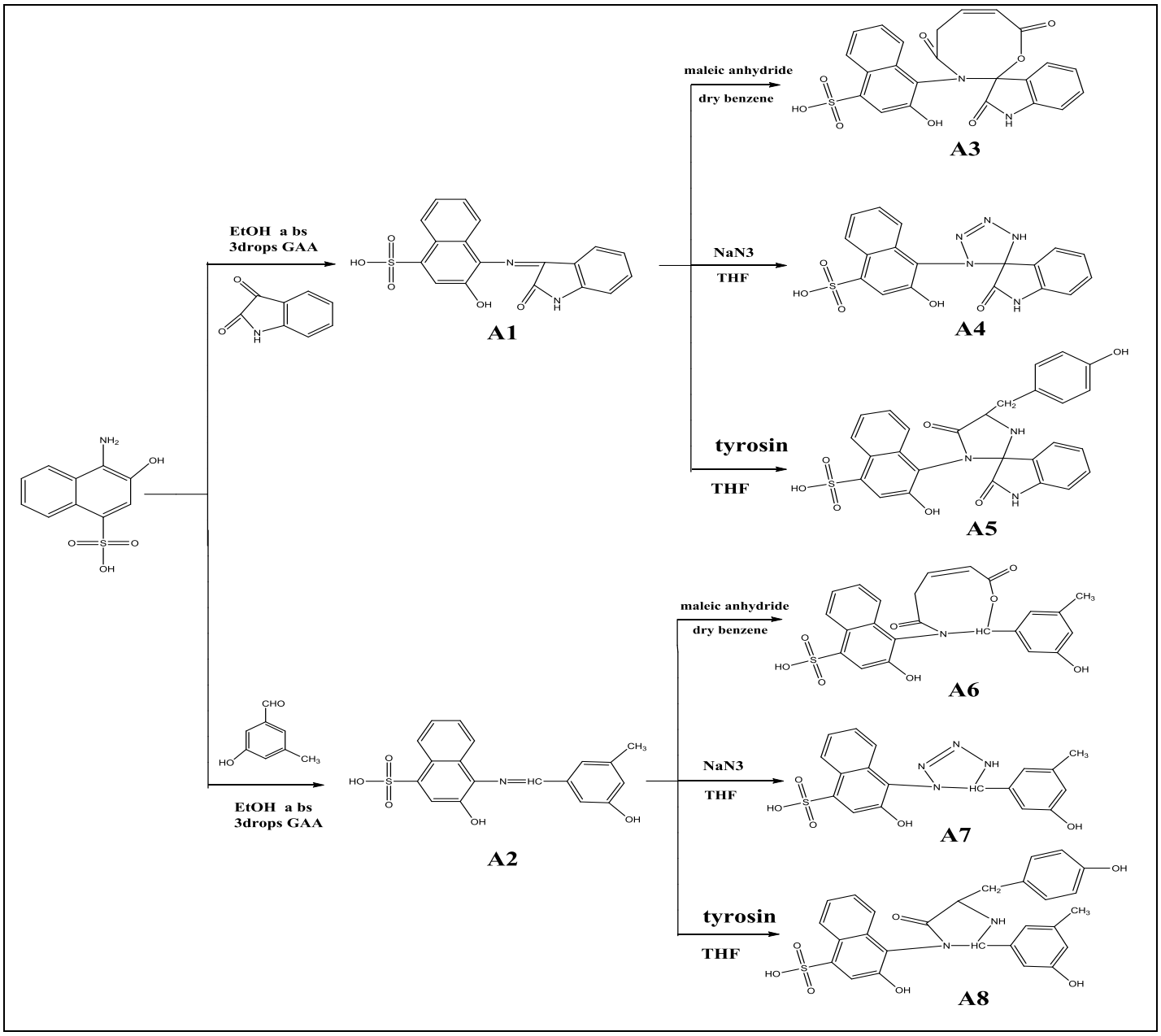
take (0.363 gm,0.001 mol) from Schiff base (A1) and (0.357gm,0.001mol) from Schiff base (A2) react with malic anhydride (0.098gm,0.001mol) was dissolved in (25ml) dry benzene.the mixture was heated for 20 hrs in water bathe at (70)C^0 the mixture was cooled;precipitate was obtained then rercystallized from ethanol.

3-Preparation of Tetrazoles (A4, A7)[15]

take (0.363 gm,0.001 mol) from Schiff base (A1) and (0.357gm,0.001mol) from Schiff base (A2) react with sodium azid(0.065gm,0.001mol) was dissolved in (25ml) tetra hydro furan .the mixture was heated for 19hrs in water bath at (55-65)C^0 the mixture was cooled;precipitate was obtained then rercystallized from ethanol.

4-Preparation of imidazolidine(A5, A8)

take (0.363 gm,0.001 mol) from Schiff base (A1) and (0.357gm,0.001mol) from Schiff base (A2) react with tyrosine was dissolved in (25ml) tetra hydro furan .the mixture was heated for 22hrs in water bathe at (55-65)C^0 the mixture was cooled;precipitate was obtained then rercystallized from ethanol**.**



Scheme(1) Preparation Compounds(A1-A8)

Table(1) Physical Properties of Compounds (A1-A8)

Compound NO.	M.F.	M.Wt	Color	m.p.c^0	Rf.	Yield%
A1	$C_{18}H_{12}O_5N_2S$	363	Yellow	202-204	0.75	90
A2	$C_{18}H_{15}O_5NS$	357	Brown	210-212	0.72	86
A3	$C_{22}H_{14}O_8N_2S$	466	Orange	175-177	0.67	85
A4	$C_{18}H_{13}O_5N_5S$	411	yellow	351-353	0.78	79
A5	$C_{27}H_{21}O_7N_3S$	531	Orange	243-245	0.75	77
A6	$C_{22}H_{17}O_8NS$	455	Brown	225-227	0.83	74
A7	$C_{18}H_{16}O_5N_4S$	400	Brown	346-348	0.79	81
A8	$C_{27}H_{24}O_7N_2S$	520	Brown	340-342	0.81	69

RESULTS AND DISCUSSION:

Characterization of Schiff base(A1,A2)

Characterization of oxazepine of compounds (A3,A6)

The FT-IR spectrum (A3 and A6)

Fig(3) and fig (6) show of OH group at *v*(3197.98,*v* 3240.41)cm^{-1}, CH aromatic bands at *v*(3010, *v*3061.03)cm^{-1}, CH aliphatic bands at *v*(2889.37, *v* 2902.87)cm^{-1} and carbonyl group band of (lactone) at *v* (1693.50, *v*1708.93)cm^{-1}, carbonyl group band of(lactame) at *v* (1680, *v* 1637.56) cm^{-1} of compounds (A3 and A6), (SO_2) group of sulfon at *v*(1284.59,*v* 1280.73)cm^{-1} .and carbonyl of isatin at *v*(1732.08)cm^{-1} of compound (A3).

Characterization of Tetrazole of Compounds (A4,A7)

The FT-IR spectrum (A4 and A7)

Fig(4) and fig (7) show of OH group at *v*(3174.83,*v* 3292.34)cm^{-1}, NH amine at *v*(3251.98, *v*3410.15)cm^{-1}, CH aromatic bands at *v*(3097.68, *v*2895.15)cm^{-1}, CH aliphatic bands at *v*(2920.23, *v* 2733.13)cm^{-1} and (N=N) Azo at *v* (1462.04, *v*1433.11)cm^{-1} of compounds (A4 and A7), and (SO_2) group of sulfon at *v*(1330.88,*v* 1352.10)cm^{-1} .and carbonyl of isatin at *v*(1732.08)cm^{-1} of compound(A4).

Characterization of imidazolidine of compounds (A5,A8)

The FT-IR spectrum (A5 and A8)Fig (5) and fig (8) show of (OH)group at *v*(3288.63,*v* 3242.34)cm^{-1}, (NH) amine at *v* (3421.72 ,*v*3383.14)cm^{-1}, CH aromatic bands at *v*(3030.17, *v*3147.83) cm^{-1}, CH aliphatic bands at *v*(2958.80, *v* 2927.94)cm^{-1}, carbonyl group bands of (lactame) at *v* (1681.93, *v*1660.71)cm^{-1} of compounds (A5 and A8) , and (SO_2) group of sulfon at *v*(1309.67, *v* 1356.03) cm^{-1}.and carbonyl of isatin at *v*(1739.79)cm^{-1} of compound (A5).

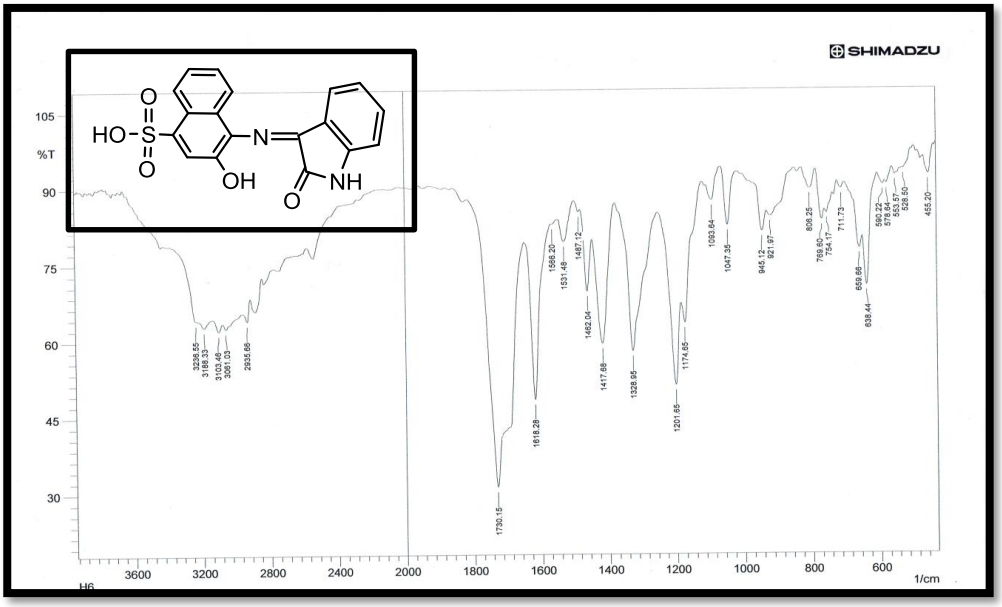
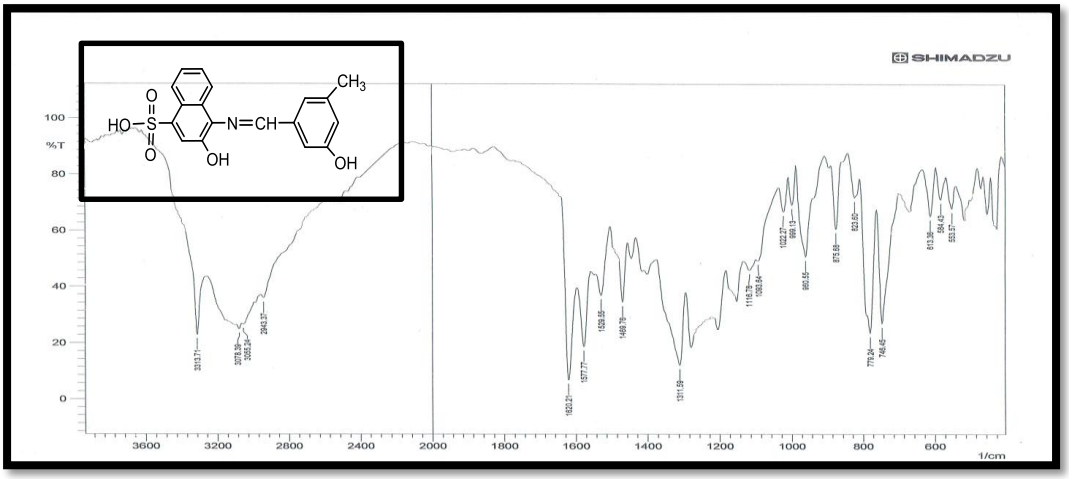
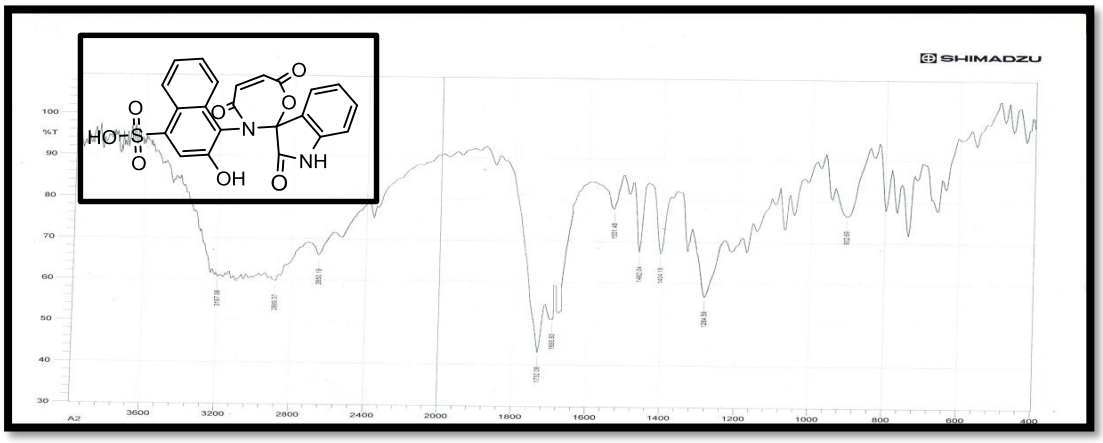


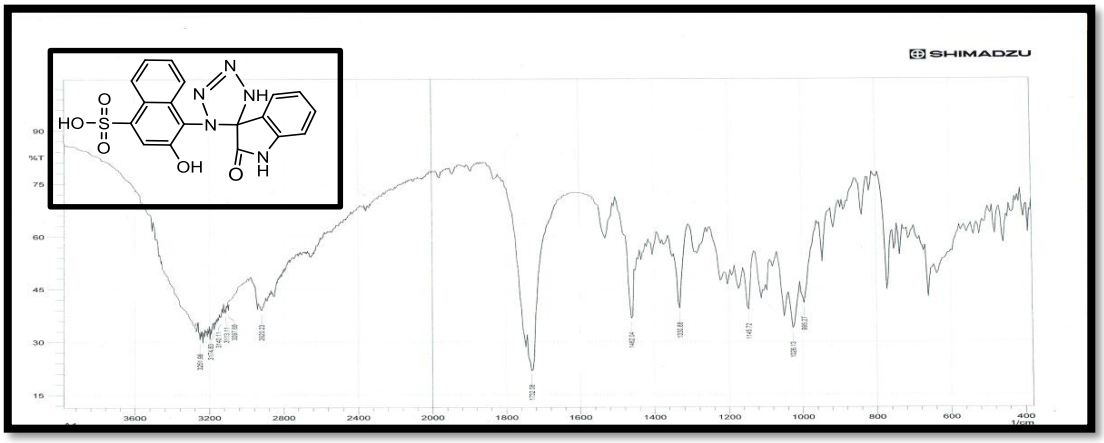
Fig (1) FT.IR Spectrum of compound (A1)



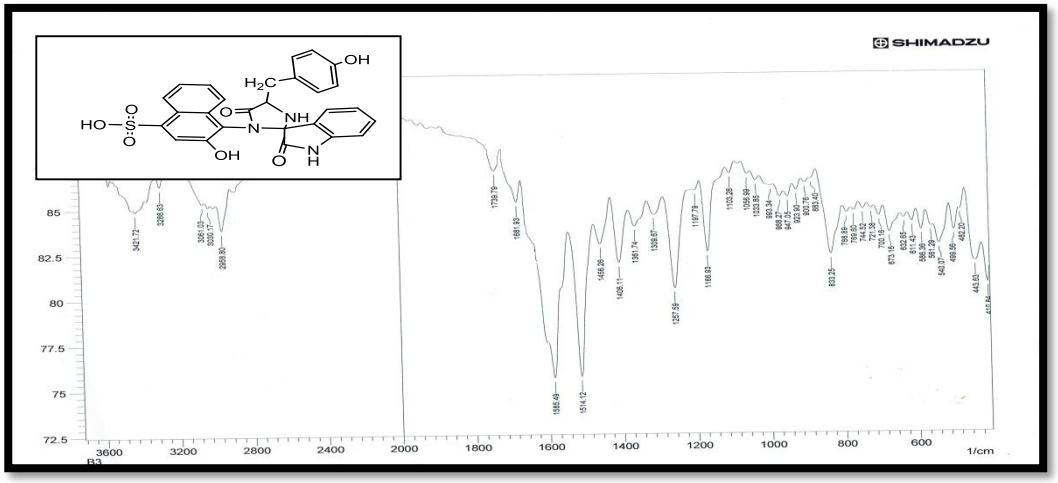
Fig(2) FT.IR Spectrum of compound(A2)



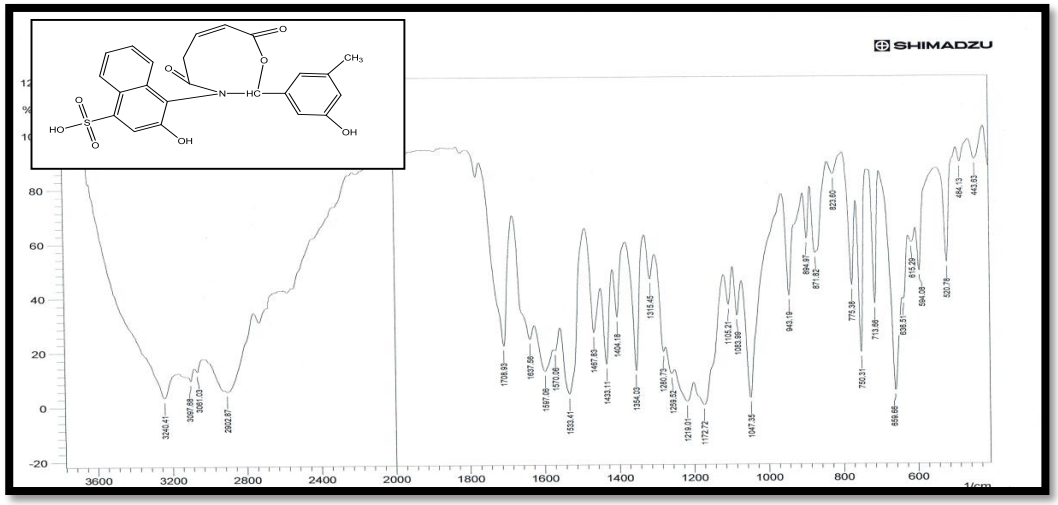
Fig(3) FT.IR Spectrum of compound(A3)



Fig(4) FT.IR Spectrum of compound(A4)



Fig(5) FT.IR Spectrum of Compound (A5)



Fig(6)FT.IR Spectrum of Compound (A6)

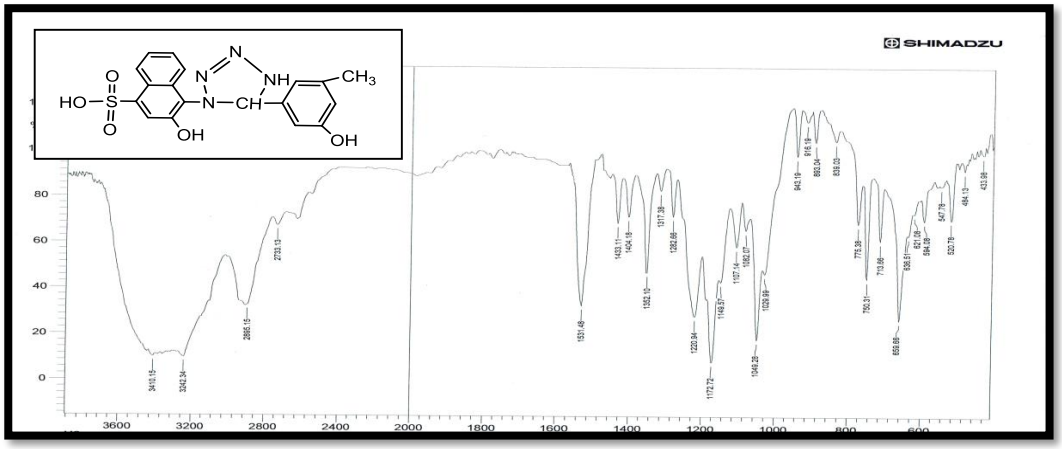
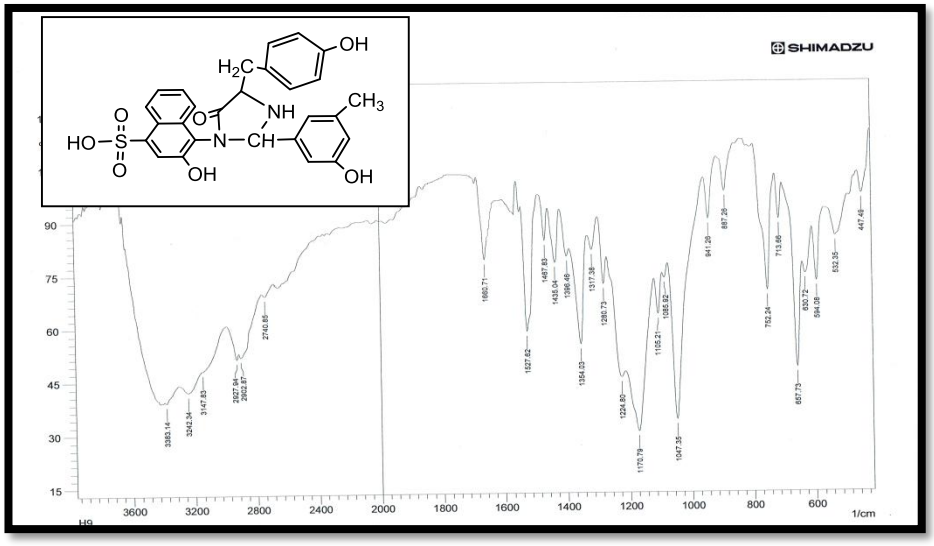


Fig (7) FT.IR Spectrum of Compound (A7)



Fig(8) FT.IR Spectrum of Compound (A8)

Biological activity :-

Antibacterial activity of these compound was determind by the ager diffusion method .uses ***sta.aureus ,Enterococcus (G^+) and k.pneumonia ,Prot.mirabilis(G^-)*** .with concentration $1x10^{-2}$ M and $1x10^{-6}$M, on an agar seeded incubated at the appropriate temperature at $37C^0$ for 24hrs**.**

Table(2) Biological activity of Compounds(A1-A8) ,Concentration $1x10^{-2}$M

Compound / **Bacteria**	G(+Ve)		G(-Ve)	
	Sta.aureus	***Enterococcus***	***K.pneumonia***	***Prot.mir abilis***
A1	16	17	11	10
A2	10	11	13	9
A3	9	8	6	0
A4	6	4	8	8
A5	11	8	9	12
A6	7	13	14	8

A7	8	6	5	4
A8	12	11	20	8

Table(2) Biological activity of Compounds(A1-A8) ,Concentration 1x10^{-4}M

Compound / Bacteria	G(+Ve)		G(-Ve)	
	Sta.aureus	***Enterococcus***	***K.pneumonia***	***Prot.mir abilis***
A1	15	8	17	11
A2	8	12	13	11
A3	6	9	8	5
A4	8	12	6	9
A5	8	9	7	9
A6	12	18	11	19
A7	8	9	5	6
A8	8	9	12	6

Table(2) Biological activity of Compounds(A1-A8) ,Concentration 1x10^{-6}M

Compound / Bacteria	G(+Ve)		G(-Ve)	
	Sta.aureus	***Enterococcus***	***K.pneumonia***	***Prot.mir abilis***
A1	11	10	9	11
A2	12	8	12	9
A3	6	9	5	6

A4	8	6	5	6
A5	8	9	7	9
A6	12	18	11	13
A7	9	6	8	5
A8	6	8	9	6

Note:

Highly active =Inhibition Zone >12 mm

Moderatly active = Inhibition Zone = 9-12mm

Slightly active = Inhibition Zone= 6-8

Inactive = Inhibition Zone <6

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