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RESEARCH ARTICLE

Synthesis and Characterization of New4, 5-dihydrooxazole and 1H-imidazole-5(4H)-one Derivative and Study its Effects on some Antibacterial

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Abstract

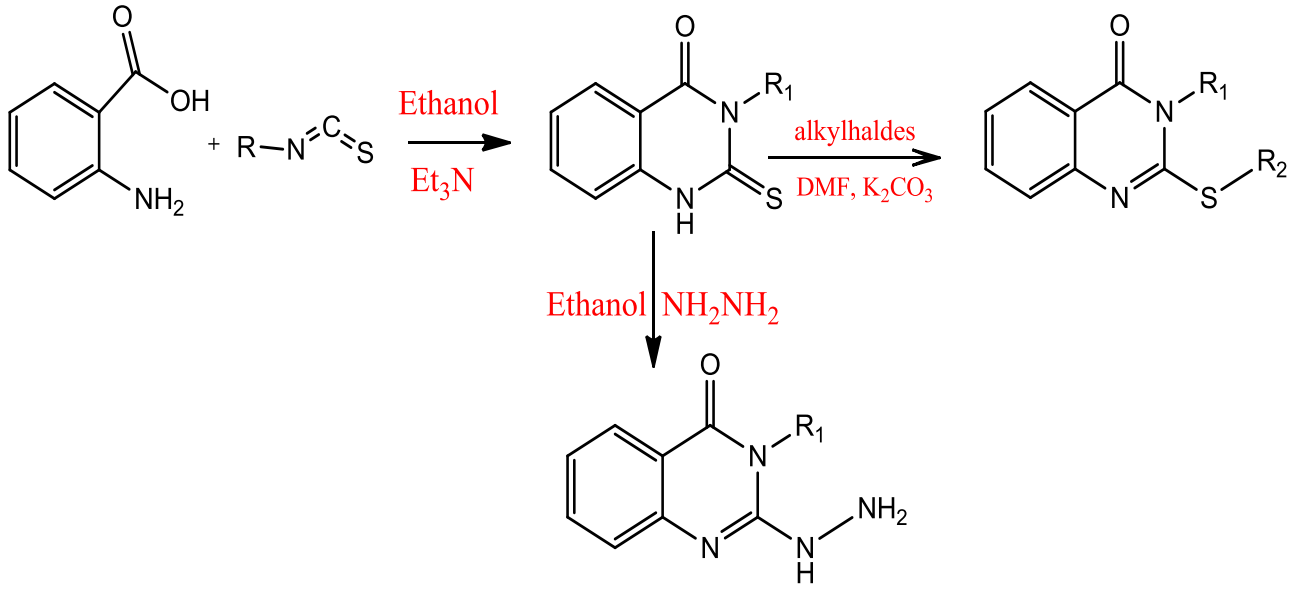
New1H-imidazole-5(4H)-one derivatives have been prepared to start from the reaction of 2-phenyl-4H-1, 3-benzoxazine-4-one with tyrosine. The reaction of compound 1 with thionyl chloride produced 3-(4-hydroxyphenyl)-2-(4-oxo-2-phenylquinazolin-3(4H)-yl)propanoyl chloride2. Condensation of compound 2 with glycine afforded 2-(3-(4-hydroxyphenyl)-2-(4-oxo-2-phenylquinazolin-3(4H)-yl)propanamido)acetic acid3.The reaction of compound 3with acetic acid, acetic anhydride, and benzaldehyde derivatives yielded 3-(1-(4-benzylidene-4,5-dihydrooxazol-2-yl)-2-(4-hydroxyphenyl)ethyl)-2-phenyl-quinazolin-4(3H)-one derivatives 4a-e.Treatment of compound 4a-d with hydrazine hydrategave3-(1-(1-amino-4-benzylidene-4,5-dihydro-1H-imidazol-2-yl)-2-(4-hydroxyphenyl)-ethyl)-2-phenylquinazolin-4 (3H)- one derivatives 5a-d. The reaction of compound 5a-d with benzaldehyde derivatives resulted in the formation of 3-(1-(-4-benzylidene-1-(benzylidene amino)-4, 5-dihydro-1H-imidazol-2-yl)-2-(4 hydroxyphenyl) ethyl)-2-phenyl-quinazolin-4(3H)-one6a-6b.The structure of novel synthesized compounds were assured from IR, 1HNMR, and13CNMR spectra.

Keywords: *Phenylquinazolines, Imidazole, Benzylideneamino, Dihydrooxazole.*

Introduction

The quinazoline ring system is likely the most important heterocyclic in nature [1-5]. Nitrogen-containing heterocyclic molecules constitute the largest compounds of chemical, which are part of a wide spectrum of natural products and biologically active.

This compound is entering the composition of pharmaceutical drugs [6]. Synthesis of quinazoline derivatives by several methods and scheme 1 illustrates one of these methods of preparation [7-9].



Scheme 1: Synthesis of quinazoline derivatives

The importance of quinazoline derivatives for widespread use in biological activities [10]. It is used as a dwelling [11], anti-inflammatory [12] and anti-hypertensive [13]. Various quinazoline, Schiff base, imidazole, and dihydrooxazole derivatives have been recently synthesized because they an important compounds of natural and synthetic product, many of which exhibit useful biological activities and clinical applications [14-21]. These compounds have got considerable attention and still interesting topic to be studied. It is used as a treatment for many diseases such as malaria [22], to treat HIV [23], and anti-bacterial infections [24], anti-tumor [25-26], antibacterial [27], anti-inflammatory [28], CNS depressant[29].Oxazoles and oxazoles are important biochemical compounds found in many natural products [30-31].The compound N-(3-chloro-4-fluorophenyl)-7-methoxy-6-(3-morpholinopropoxy)quinazolin-4-amine in Figure .1, was found to be effective against lung cancer and was approved by the US Food and Drug Administration (US FDA) for the treatment of non-small cell lung Cancer (NSCLC) [32].

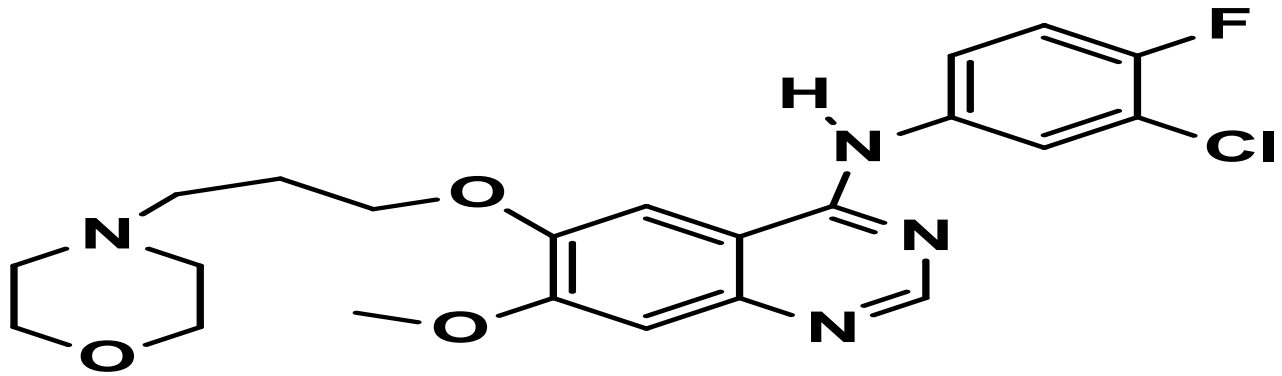


Figure1: Drugs against lung cancer

Experimental

General

All chemicals used were high purity. Electro thermal melting point apparatus has been used to measure melting point. Infrared spectra were read as KBr disc on Shimadzu-FT-IR-8400 spectrometer.1H and 13C NMR spectra were noticed on Burker 300 MHz instrument using CDCl3 and DMSO-d6 as a solvent and TMS as internal reference at, Al-Albayt University, Jordan. Elemental microanalyses were recorded by microanalysis (C.H.N) analyzer, Euro vector EA 3000A at Al-Bayt University, Jordan. TLC using aluminum silica gel plates monitored the progress of the reaction.

Preparation Methods

3-(4-hydroxyphenyl)-2-(4-oxo-2-phenylquinazolin-3(4H)-yl) propanoic acid 1

A mixture of (1mmol) of 2-phenyl-4H-benzo[d][1,3]oxazin-8-one and tyrosine (1mmol) with glacial acetic acid (17 mL) was refluxed for 6h.The mixture was left to cool down into room heat temperature. Both ice cold and distilled water added to the reaction mixture, Re-crystallization using ethanol.IR (cm-1):3495 v OH, 1683vC=O acid, 1641vC=O ester, 1608vC=N, 3057v C-H benzene, 2947v CH2, 2891 v CH, 1583-1448 v C=C benzene. The physical properties in Table 1.

3-(4-hydroxyphenyl)-2-(4-oxo-2-phenylquinazolin-3(4H)-yl) propanoyl chloride 2

A mixture of compound 1 (27 mmol) and thionyl chloride(5 mL) in dry benzene (15mL) then refluxed for 5h.The solution was cooled then the surplus of thionyl chloride and benzene evaporated under vacuum, the product was recrystallized from benzene. IR (cm-1): 3450 v OH, 3070v C-H benzene, 2956 v CH2, 2872vCH, 1762 vC=O acetyl chloride, 1683vC=O ester, 1643vC=N 1581-1450 v C=C benzene. The physical properties in Table 1.

2-(3-(4-hydroxyphenyl)-2-(4-oxo-2-phenylquinazolin-3(4H)-yl) propanamido) acetic acid 3

The of glycine (26 mmol) and sodium hydroxide (12 ml, 10% solution), to compound 2(26mmol)was added, refluxed for 4 h with stirring. After cooling, a few grated pieces of ice were added with stirring. The solution was acidified with diluted HCl and collected then re-crystallized from ethanol. IR (cm-1): 3431 v OH,3238 NH, 3064v C-H benzene,

2980 v CH2, 2866vCH,1683 v C=O acid, 1643vC=O amide, 1610vC=N and NH bending, 1583-1446 v C=C benzene,: 1H-NMR- CDCl3ς (ppm) 11.94 (s,H, O-H acid),8.97 (OH) and (s,H, NH), 6.8-7.98 (m, aromatic proton), 3.58(t,H, CH),214 d,2H, CH2) . The physical properties in Table 1

Synthesis of 4, 5-Dihydrooxazol 4a-e

Dissolve the compound3 (23 mmol) in acetic acid (8 mL) and acetic anhydride (15ml), different aromatic aldehydes (23mml) but terphthaldehyde (46ml) was added. The mixture was refluxed for 4h, then cool to room temperature, the mixture was poured into crushed ice, the products 4a-d was collected and washed with distilled water, re-crystallized from ethanol. The physical properties of these compounds in Table 1.

(E)-4-(4-(dimethylamino) benzylidene)-2- (2-(4-hydroxyphenyl)- 1- (4-oxo-2-phenylquinazolin 3(4H)-yl) ethyl) oxazol-5(4H)- one4a.IR (cm-1): 3444OH, 3059 v C-H benzene, 2985 v CH3, 2900 v CH2,2827 CH, 1762vC = Ooxazole, 1651 v C=O amide, 1610vC=N and C=C olefin, 1572-1442 v C=C benzene, . 1HNMR (CDCl3) ς (ppm) 8.29 (s, OH), 8.22(C=C-H), 7.09-7.73 (m, aromatic proton), 3.75(t,H, CH), 2.91 (s,6H,N(CH3)2), 2.14(d,2H,CH2).

(E)-4-(4-hydroxy-3-methoxybenzylidene)-2-(2-(4- hydroxyphenyl)-1-(4- oxo- 2-phenylquinazolin -3 (4H)-yl) ethyl) oxazol-5(4H)-one 4b

IR (cm-1): 3427 OH, 3034 v C-H benzene, 2941 v CH2, 2881vCH, 1762vC=Ooxazol, 1616 v C=N and C=C olefin, 1573-1469v C=C benzene,1253and 1006 v OCH3. 1HNMR (CDCl3) ς (ppm) 8.36 (s, OH), 8.28 (C=C-H), 7.01-7.86 (m, aromatic proton), 3.82(t,H, CH), 3.50 (s,3H,OCH3), 2.45(d,2H,CH2).

(E)-4-(2, 4-dihydroxybenzylidene)-2-(2-(4-hydroxyphenyl)- 1-(4- oxo- 2-phenylquinazolin -3(4H)-yl) ethyl) oxazol-5(4H)- one 4c

IR (cm-1): (3383-3315) v OH, 3116 v C=C, 3062v C-H benzene, 2885 v CH2, 2831vCH, 1760vC=Ooxazol, 1656vC=O, 1600vC=N and C=C olefin, 1535-1444v C=C benzene. 1HNMR (CDCl3) ς (ppm) 8.87 (s, H, OH), 8.52 (s, H, m-OH), 8.07 (C=C-H), 7.24-7.96 (m, aromatic proton), 3.64 (t,H, CH),2.34(d,2H,CH2).

(E)-4-(2, 4-dichlorobenzylidene)- 2-(2-(4-hydroxyphenyl)-1-(4- oxo-2-phenylquinazolin-3 (4H)-yl) ethyl) oxazol- 5 (4H)- one 4d

IR (cm-1): (3531)v OH, 3120 v C=C-H, 3062 v C-H benzene, 2945 v CH2, 2877vCH, 1764vC=Ooxazol, 1677vC=O, 1616vC=Nandv C= Colefin, 1573-1444v C=C benzene,1174and1010v C-Cl. 1HNMR (CDCl3) ς (ppm) 9.02 (s, OH), 8.88 (C=C-H), 7.02-8.29 (m, aromatic proton), 4.32(t, CH),2.24(d,CH2).

(4Z, 4'E)-4, 4'-(1, 4-phenylenebis (methanylylidene)) bis (2-(2-(4-hydroxyphenyl) - 1- (4-oxo-2-phenylquinazolin- 3 (4H)- yl) ethyl) oxazol-5(4H)-one) 4e

IR (cm-1): (3489) v OH, 3130 v C=C-H, 3037v C-H benzene, 2951 v CH2, 2885vCH, 1764vC=Ooxazol, 1653vC=O, 1612vC=Nand 1602 v C=Colefin, 1598-1473v C=C benzene. 1HNMR (CDCl3) ς (ppm) 9.01 (s, OH), 8.87 (2H, C=C-H), 7.24-8.07 (m, aromatic proton), 3.66(t,H, CH),2.88(d, 2H, CH2).

Synthesis of 4, 5-dihydro-1H-imidazole-2-yl 5a-e

The reaction of compounds 4a-d (10 mmoles) with hydrazine hydrate (6 mL) in benzene (20 mL) was refluxed to 6h, the solvent was disposed of by rotary evaporator under reduced pressure to form a precipitate, which filtered and recrystallized from ethanol. The physical properties of these compounds in Table 1.

(E)-3-(1-(1-amino-4-(4-(dimethylamino) benzylidene)-5-oxo-4, 5-dihydro-1H-imidazole-2-yl)-2-(4-hydroxyphenyl) ethyl)-2-phenylquinazolin-4(3H)-one 5a

IR (cm-1): 3383 v OH, 3321-3288v NH2, 3053v C-H benzene, 2976 v CH3, 2899 v CH2, 2827vCH, 1651vC=Oamide and imidazole, 1600vC=N and C=C olefin, 1527-1446 v C=Cbenzene. 1HNMR (CDCl3) ς (ppm) 8.87 (s, OH), 8, 73(s, 2H.NH2),8.02 (2H, C=C-H), 7.06-8.02 (m, aromatic proton), 3.94(t, H, CH), 2.81 (d, 2H, CH2), 2.17(s, 6H, N (CH3)2).

13C-NMR (DMSO-d6), 25.00N (CH3)2, 55.92 CH, 38.80 CH2, (114.02-139.33) ppm due to aromatic carbon, (154.58) for (C=N), 101.03 C=CH, 130.24C=CH, 167.90 N- C=O, 178.10 N-C=O (imidazole).Anal. Calcd. % for

C34H30N6O3: C, 71.51; H, 5.30; N, 14.73, O, 8.40; Found %: C, 71.21; H, 5.26; N, 14.80, O, 8.38.

(E)-3-(1-(1-amino- 4- (4-hydroxy-3-methoxybenzylidene)-5-oxo-4, 5-dihydro-1H-imidazol-2-yl)-2-(4-hydroxyphenyl) ethyl)-2-phenylquinazolin-4(3H)-one 5b

IR (cm-1): 3415 v OH, 3278-3205 v NH2, 3062 v C-H benzene, 2972v CH3, 2893 v CH2, 2821vCH, 1651vC=Oamide and imidazole, 1600 vC=N and C=C olefin, 1527-1446 v C=C benzene, 1253OCH3,. 1HNMR (CDCl3) ς (ppm) 8.86 (s, OH), 8, 73(s, 2H.NH2),8.00 (2H,C=C-H), 6.94-8.00 (m, aromatic proton), 3.87(t, H, CH), 2.14 (d,2H,CH2), 3.55(s,3H,OCH3).13C-NMR (DMSO-d6) 55.87CH, 30.20 CH2,59.74 OCH3, (124.25-143.04) ppm due to aromatic carbon, (163.42) for (C=N), 101.11 C=CH, 130.34C=CH, 165.28 N- C=O, 170.74 N-C=O(Imidazole).Anal. Calcd. % for C33H27N5O5: C, 69.10; H, 4.74; N, 12.22; O, 13.92; Found %: C, 70.03; H, 4.69; N, 12.17; O, 14.02.

(E)-3-(1-(1-amino- 4- (2, 4-dihydroxybenzylidene)- 5- oxo-4, 5-dihydro- 1H- imidazol- 2-yl)-2-(4-hydroxyphenyl) ethyl)- 2-phenylquinazolin- 4 (3H)- one 5c.

IR (cm-1): 3477 v OH, 3278-3221 v NH2, 3064v C-H benzene, 2929 v CH2, 2810vCH, 1681-1666vC=Oamide and imidazole, 1620vC=N, 1600 v C=C olefin, 1587-1446 v C=Cbenzene. 1HNMR (CDCl3) ς (ppm) 8.88(s, 2H, 2OH), 8, 75(s, 2H.NH2), 8.01 (2H, C=C-H) and (s,H,m-OH), 7.08-8.01 (m, aromatic proto), 4.09(t, H, CH), 2.24 (d, 2H, CH2).13C-NMR (DMSO-d6) 59. 74CH, 30.65 CH2, (122.95-152.36) ppm due to aromatic carbon, 152.36 for C=N, 110.15 C=CH, 130.53C=CH, 164.44 N-C=O, 172.42 N-C=O (Imidazole). Anal. Calcd. % for C32H25N5O5: C, 68.68; H, 4.50; N, 12.50; O, 14.30; Found %: C, 68.62; H, 4.45; N, 12.59; O, 14.24.

(E)-3-(1- (1-amino- 4-(2, 4-dichlorobenzylidene)- 5- oxo-4, 5-dihydro- 1H- imidazol- 2-yl)- 2- (4-hydroxyphenyl) ethyl)- 2-phenylquinazolin-4 (3H)- one 5d.

IR (cm-1): 3437 v OH, 3236-3228 v NH2, 3064 v C-H benzene, 2899 v CH2, 2831vCH, 1664 vC=O amide, 1641vimidazole and C=N,1602 v C=C olefin, 1533-1444 v C=Cbenzene,1161 and 1076 v C-Cl.,. 1HNMR (CDCl3) ς (ppm) 8.90 (s, OH), 8, 65(s, 2H.NH2), 8.02 (H, C=C-H), 7.01-8.02 (m, aromatic proton), 3.84(t, H, CH), 2.52 (d, 2H, CH2). 13C-NMR (DMSO-d6), 59.89 CH, 34.33 CH2, (122.79-153.64) ppm due to aromatic carbon, (162.25-166.58) for (C=N), 119.93 C=CH, 130.66C=CH, 169.94 N- C=O, 174.92 N-C=O (Imidazole). Anal. Calcd. % for C32H23 Cl2N5O3: C, 64.43; H, 3.88; Cl, 11.89, N, 11, 74; O, 8.03; Found %: C, 64.38; H, 3.75; N, 11.79; O, 8.10.

3,3'- (((4Z,4'E)-4,4'-(1,4-phenylenebis(methanylylidene)) bis (1-amino-5-oxo-4,5-dihydro-1H-imidazole-2-yl-4-ylidene))bis(2-(4-hydroxyphenyl)ethane-1,1-diyl))bis(2-phenylquinazolin-4(3H)-one) 5e

IR (cm-1): 3385 v OH, 3323-3289 v NH2, 3130 v C=C-H, 3055v C-H benzene, 2941 v CH2, 2827vCH, 1653vC=O amide and imidazole, 1602vC=N, and v C=C olefin, 1525-1445 v C=Cbenzene. 1HNMR (CDCl3) ς (ppm) 8.87 (s,2H,2OH), 8,73(s,4H.2NH2),8.00 (2H,C=C-H), 7.06-8.02 (m, aromatic proton), 3.65(t, H, CH), 2.14 (d,2H,CH2).13C-NMR (DMSO-d6), 59.89 CH, 34.33 CH2, (122.79-153.64) ppm due to aromatic carbon, (162.25-166.58) for (C=N), 119.93 C=CH, 130.66 C=CH, 169.94 N- C=O, 174.92 N-C=O(Imidazole). Anal. Calcd. % for C58H44N10O6: C, 71.30; H, 4.54; N, 14.34; O, 9.83; Found %: C, 70.91; H, 4.50; N, 14.80; O, 9.61.

Synthesis of Schiff bases

To a solution of compound5c (1 mmol) in absolute ethanol (25 mL), aromatic aldehyde (1 mmol) and 3drops from glacial acetic acid were added with continuous stirring and the mixture refluxed for 6 h, Ethanol evaporated under vacuum and resulted recrystallized from ethanol. The physical properties of these compounds in Table 1.

3-(1-((E)-4-(2, 4-dihydroxybenzylidene)-1-((E)-(4-(dimethylamino) benzylidene) amino)-5-oxo-4, 5-dihydro-1H-imidazol-2-yl)-2-(4-hydroxyphenyl) ethyl)-2-phenylquinazolin-4(3H)-one 6a.

IR (cm-1),3425 cm-1vOH,3132 cm-, 3055v C-H benzene, 2980 v CH3, 2908 v CH2, 2866 v CH,, 1683vC=Oamide, 1641vC=O imidazole and vC=N respectivaly1608 C=C olefin,1583-1446 v C=Cbenzene.1HNMR (CDCl3) ς (ppm) 8.87 (s, OH), 8,54(s, H, N=CH),8.08 (2H,C=C-H), 6.69-8.08 (m, aromatic proton), 3.65(t, H,

CH), 2.22 (d,2H,CH2), 3.21(s,6H,N(CH3)2). 13C-NMR (DMSO-d6)33.26 N (CH3)2, 57.74 CH, 34.38 CH2, (119.93—153.64) ppm due to aromatic carbon, (153.64) for (C=N), 119.93 C=CH, 130.66 C=CH, 161.31 N- C=O, 166.91 N-C=O (imidazole Anal. Calcd. % for C41H34N6O5: C, 71.29; H, 4.96; N, 12.17; O, 11.58; Found %: C, 71.35; H, 4.81; N, 12.09; O, 12.03.

3-(1-((E)-4-(2, 4-dihydroxybenzylidene)-1-((E)-(4-hydroxy-2-methoxybenzylidene) amino)-5-oxo-4, 5-dihydro-1H-imidazol-2-yl)-2-(4-hydroxyphenyl) ethyl)-2-phenylquinazolin-4 (3H)-one6b. IR (cm-1), 3377-3280 cm-1vOH,3184 cm-1 olefin, 3053 v C-H benzene, 2966 v CH3, 2935 v CH2, 2852 v CH,, 1683vC=O amide, 1643vC=O imidazole and vC=N respectively1608 C=C olefin, 1580-1446 v C=C benzene, .1HNMR (CDCl3) ş (ppm) 8.83 (s, OH), 8,38(s, H, N=CH),8.34 (2H,C=C-H), 7.08-8.8.28 (m, aromatic proton), 3.83(t, H, CH), 2.14 (d,2H,CH2), 4.43(s,3H,OCH3).13C-NMR (DMSO-d6)33.26 N (CH3). Anal. Calcd. % for C40H29Cl2N5O5: C, 65.76; H, 4.00; Cl, 9.71, N, 9.59, O, 10.95; Found %: C, 66.10; H, 4.12; Cl, 9.90; N, 9.48; O, 10.59.

Results and Discussion

Scheme 2 shows the preparation methods of prepared compounds

Reaction of compound 2-phenyl-4H-benzo[d] [1, 3] oxazin-4-one with tyrosine, to give compound1.The structural of the compound1 was substantiated spectroscopically, The FT-IR spectrum shows stretching absorption of the 3495 cm-1 v OH and 1683 cm-1 vC=O acid.

Compound 1 reacted with SOCl2 in dry benzene to give acid chloride derivative 2, it's notable that the reaction has been followed by changing the absorption of the carbonyl group of acid from1685 cm-1 to 1762 cm-1 for a carbonyl of acyl chloride.

The reaction between 2and glycine to give derivative 3.The FT-IR spectrum shows stretching absorption of the NHat 3238 and bending 1610 cm-1, the carbonyl acid stretching band showed at 1683cm-1. 1H NMR spectra in Figure (2), showed signals at 11.94 ppm due to (OH acid), at 8.97 ppm for (OH and NH), and signals at 6.8-7.98, due to aromatic protons.

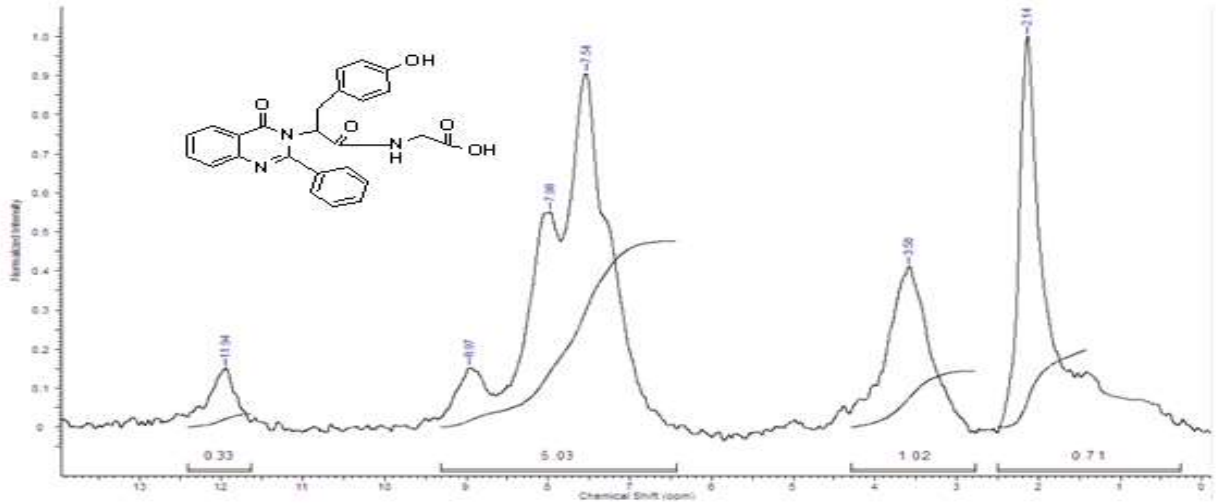


Figure 2: H-NMR for compound (3)

Synthesis of five various 4, 5-Dihydrooxazol derivatives 4a-e of synthesized by the reaction of compound 3 with aromatic aldehyde derivative in acetic acid and acetic anhydride solution resulted. The FT-IR spectrum of compound 4a showed a peak at 1762 cm-1 belongs to the C=O of 4,5-dihydrooxazole,the carbon double bond (olefin)absorption band at 1610 cm-1. Also the absence of peaks in the region 3238cm-1 for NH group.1H NMR spectrum of this compound appeared the new signals at8.22 (C=C-H olefin) and signals at 7.09-7.73 due to aromatic protons, the signal at 2.91 (s, 6H, N (CH3)2, disappearance the signal at 11.94 ppm to OH acid proton. The FT-IR spectrum of compound 4b showed a peak at 1762 cm-1 belongs to the C=O of 4,5-dihydrooxazole. The carbon double bond absorption band at 1616 cm-1andabsence of peaks in the region 3238cm-1 for NH, group. 1H NMR spectrum of this compound appeared the new signals

at8.28 (C=C-H olefin) and a multiple signals at 7.01-7.86, due to aromatic protons, at 3.50 (s, 3H, OCH3), disappearance the signal at 11.94 ppm at OH acid proton.

The FT-IR spectrum of compound 4c showed a peak at 1767 cm-1 belong to the C=O of 4,5-dihydrooxazole and appeared peak at 3116 to C=C-H. The carbon double bond (olefin) absorption band was seen at 1600 cm-1. Additionally, the absence of peaks in the region 3238cm-1 for NH group.1H NMR spectrum of this compound appeared new singlet signals at8.07 (C=C-H olefin) and a multiple signals at7.24-7.96,region due to aromatic protons, disappearance a singlet signal at 11.94 ppm at OH acid proton. The FT-IR spectrum of compound 4d showed a peak at 1764 cm-1 belongs to the C=O of 4,5-dihydrooxazole and a peak appears at 3130 to the C=C-H. The carbon double bond absorption band at 1616 cm-1and absence of peak in the region 3238cm-1 for NH group.1H NMR spectrum of this compound showed new singlet signals observed at 8.88 (C=C-H olefin) and multiple signals at 7.02-8.24,region due to aromatic protons, disappearance the singlet signal at 11.94 ppm to OH acid proton. FT-IR spectrum of compound 4e showed a peak at 1764 cm-1 belongs to the C=O of 4, 5-dihydrooxazole and a peak appears at 3130 to the C=C-H. The carbon double bond absorption band at 1612 cm-1 and absence of peaks at 3238cm-1 for NH group.1H NMR spectrum in Figure (3) showed a singlet signals at 8.87 (C=C-H olefin) and multiple signals at 7.24-8.07,region due to aromatic protons, disappearance a singlet signal at 11.94 ppm at OH acid proton.

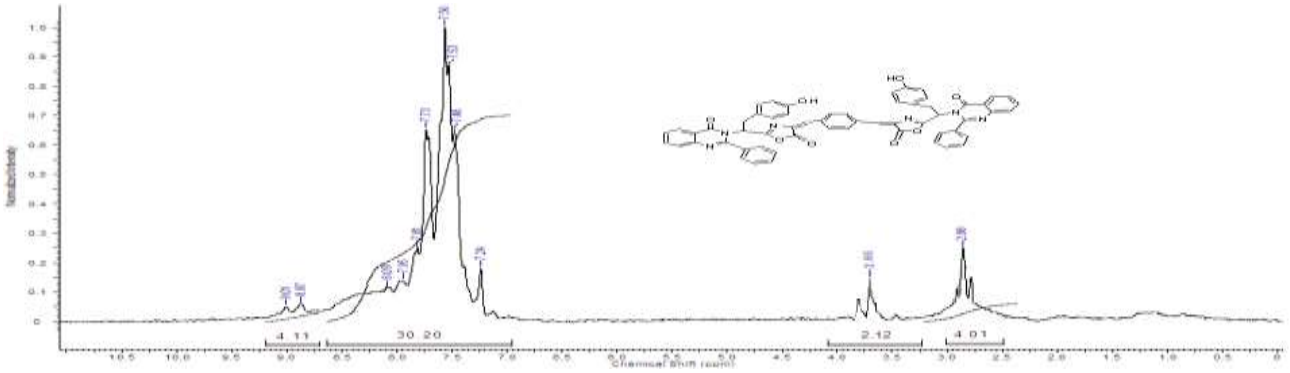


Figure 3: H-NMR for compound (4e)

The compounds 5a-eweresynthesized by the condensation reaction of compounds4a-e with hydrazine anhydride in dry benzene. The chemical structures and purity of compounds5a-dwere determined by FT-IR, ^{1}H NMR, and ^{13}CNMR spectroscopic techniques. The FT- IR spectra of compounds (5a-e) displaced peaks at 1651-1641 cm^{-1}, 3338-3288 cm^{-1} for (imidazole, υC=O) and (υNH$_2$) functions respectively. ^{1}H NMR spectrum in Figure (4,5,6),to compound(5a),showed the new singlet signals observed at 8.65-8.75 ppm due to (NH$_2$), singlet signal at 8.00-8.02 ppm for proton (CH, olefin) and multiple signals at 6.94-8.02ppm region due to aromatic protons, was confirmed by IR$_1$, ^{1}H-NMR and ^{13}C-NMR,the data are shown in the experimental section., The Figures(7,8,) showed the 13NMR spectra of the prepared compounds, which have been explained in the practical part.

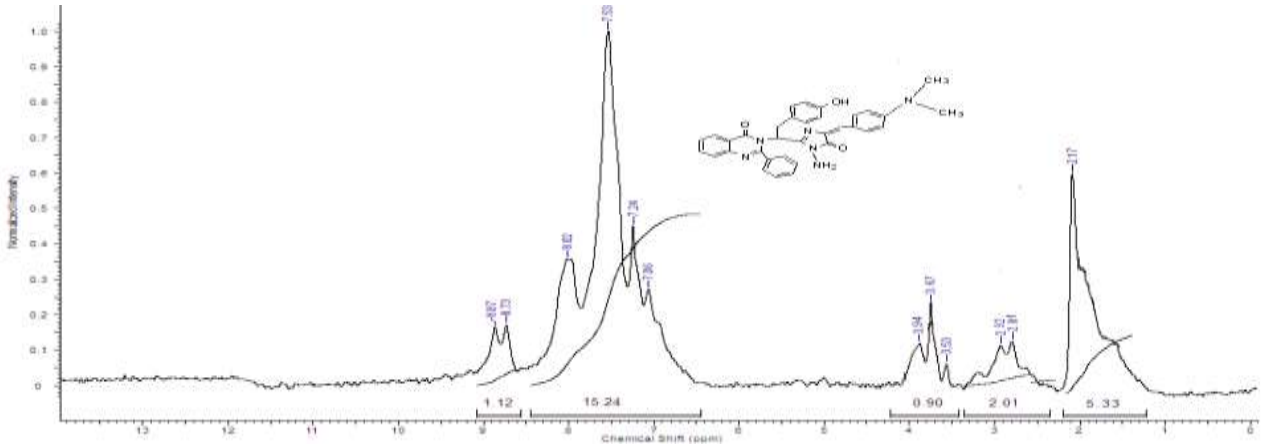


Figure 4: H-NMR for compound (5a)

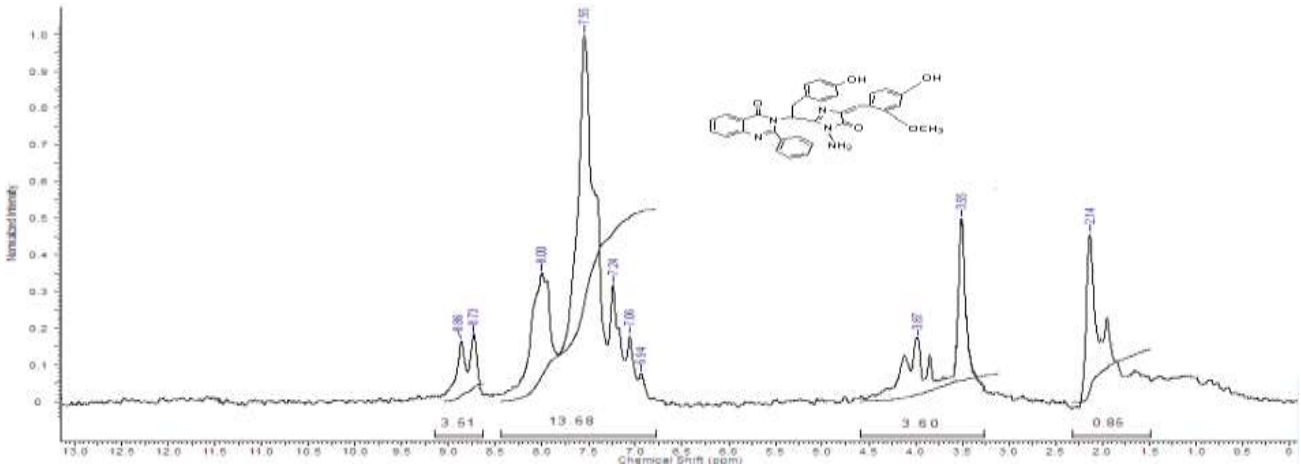


Figure 5: H-NMR for compound (5b)

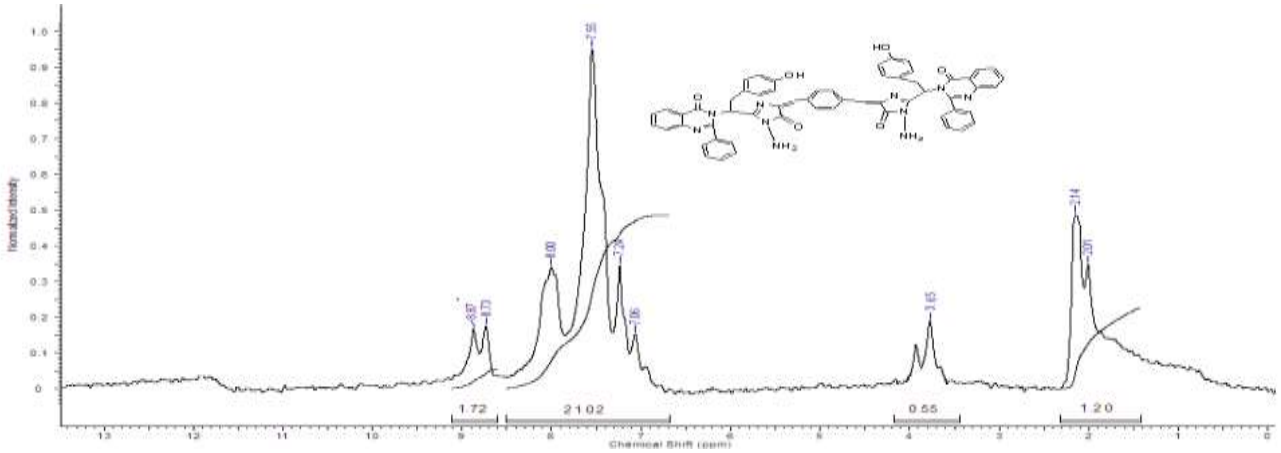


Figure 6: H-NMR for compound (5e)

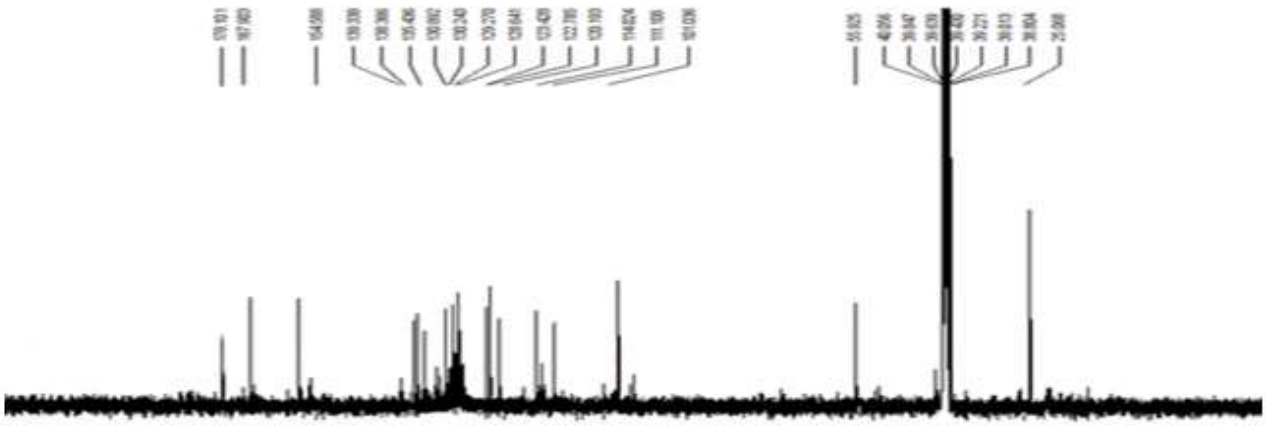


Figure 7: 13NMR for compound (5a)

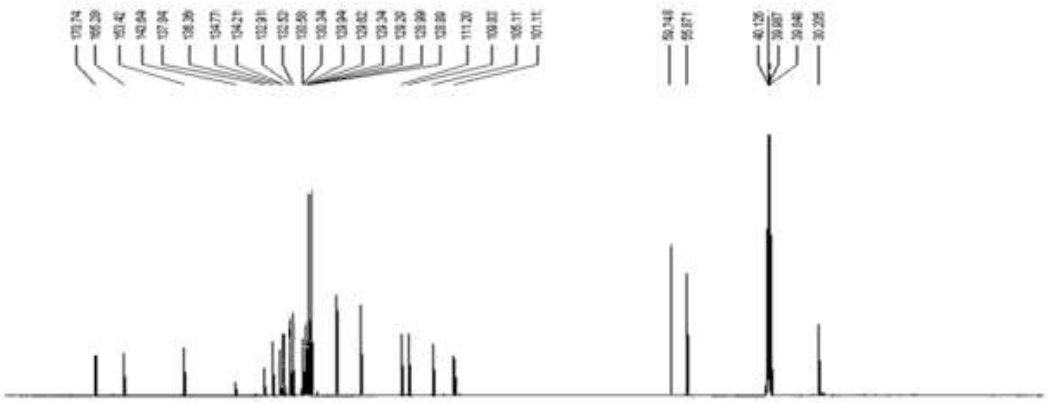


Figure 8: 13NMR for compound (5b)

Compounds 5a, 5bcondensed with different aldehydes in ethanol containing acetic acid at reflux temperature affording the corresponding Schiff's bases compounds (6a, 6b). FT-IR spectrum of compounds6a,6b showed absence of absorption bands NH_2 and exhibited band at region 1643-1641 cm^{-1} due to C=N group.[1]HNMR spectrum of compounds (6a,6b),in Figures (9,10),showed the new singlet signals observed at 8.38-8.54 ppm at N = CH proton, singlet signals at8.34-8.08 for proton=C-H group and multiple signals at 6.69-8.08ppm region due to aromatic protons, disappearance the singlet signals at 8.65-8.75ppm to NH_2 proton. The Figure (11), showed the [13]NMR spectra of the prepared compound 6a, which have been explained in the practical part.

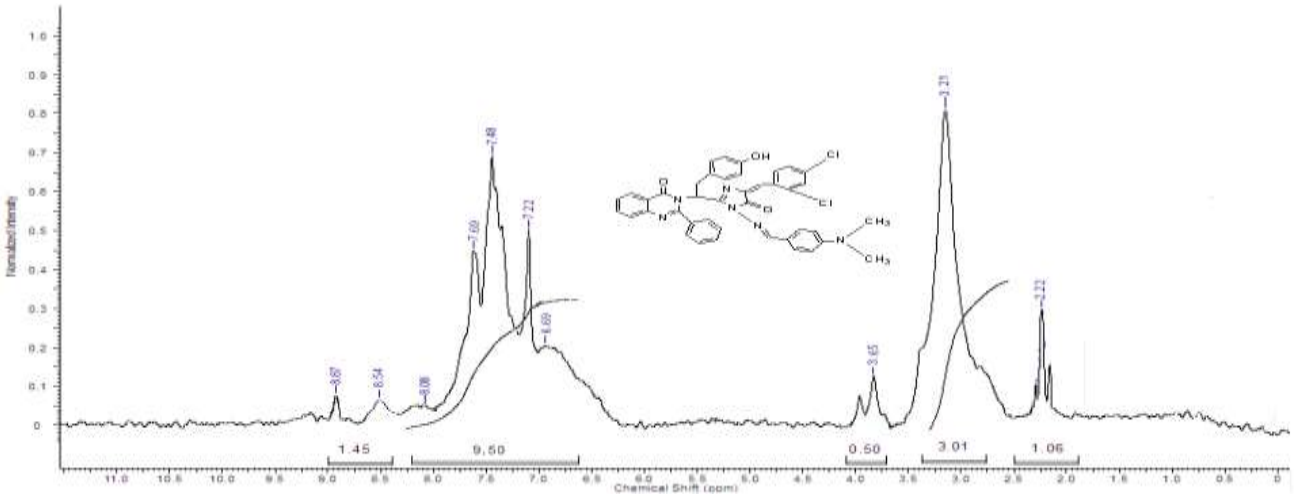


Figure 9: H-NMR for compound (6a)

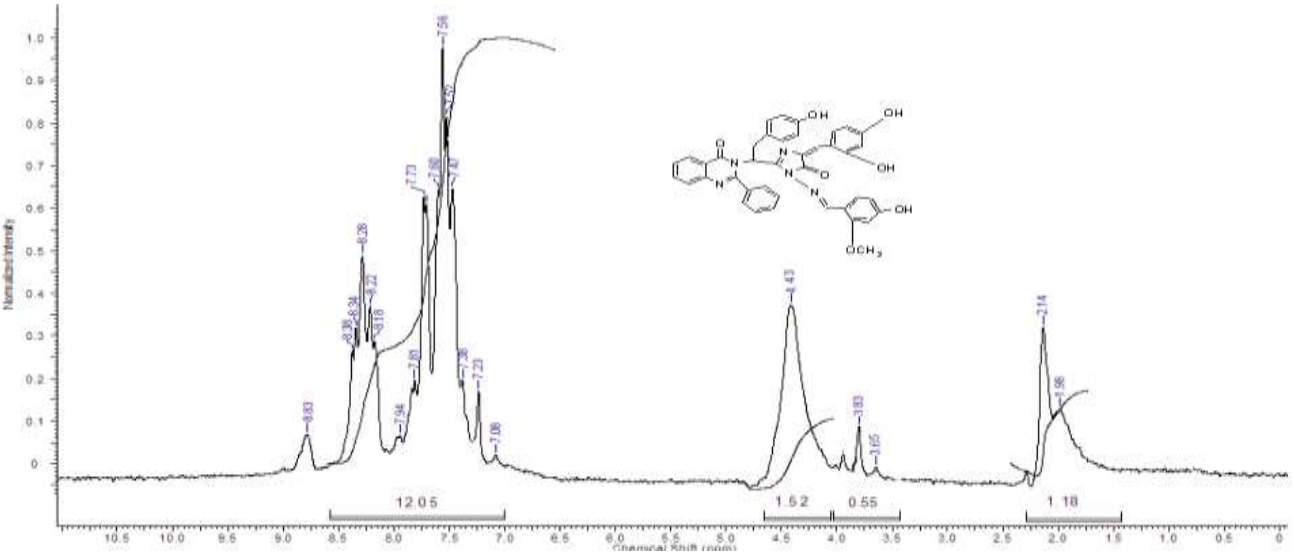


Figure 10: H-NMR for compound (6b)

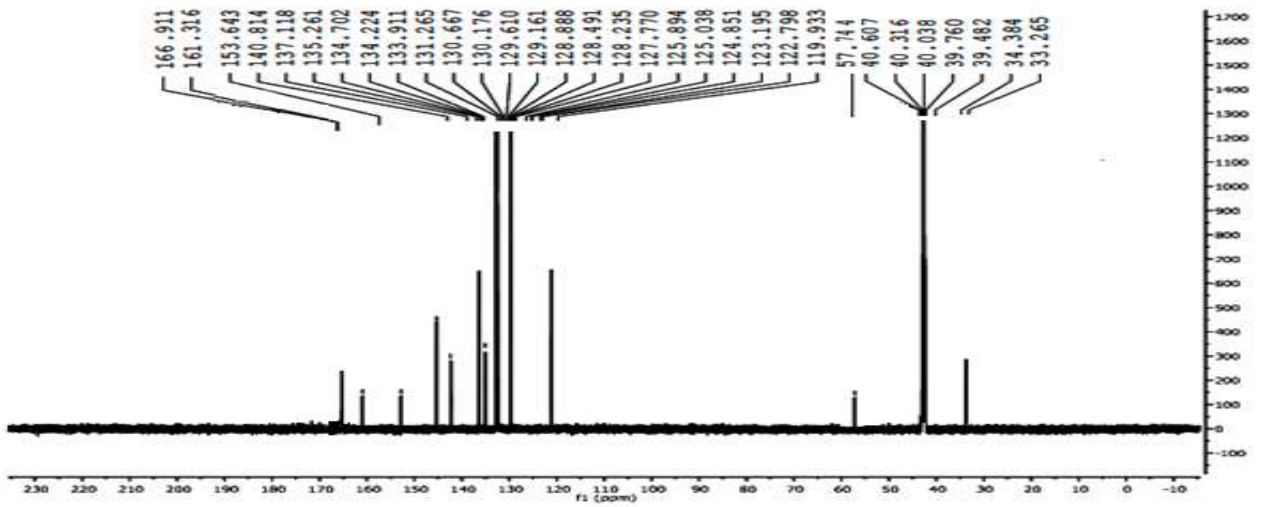


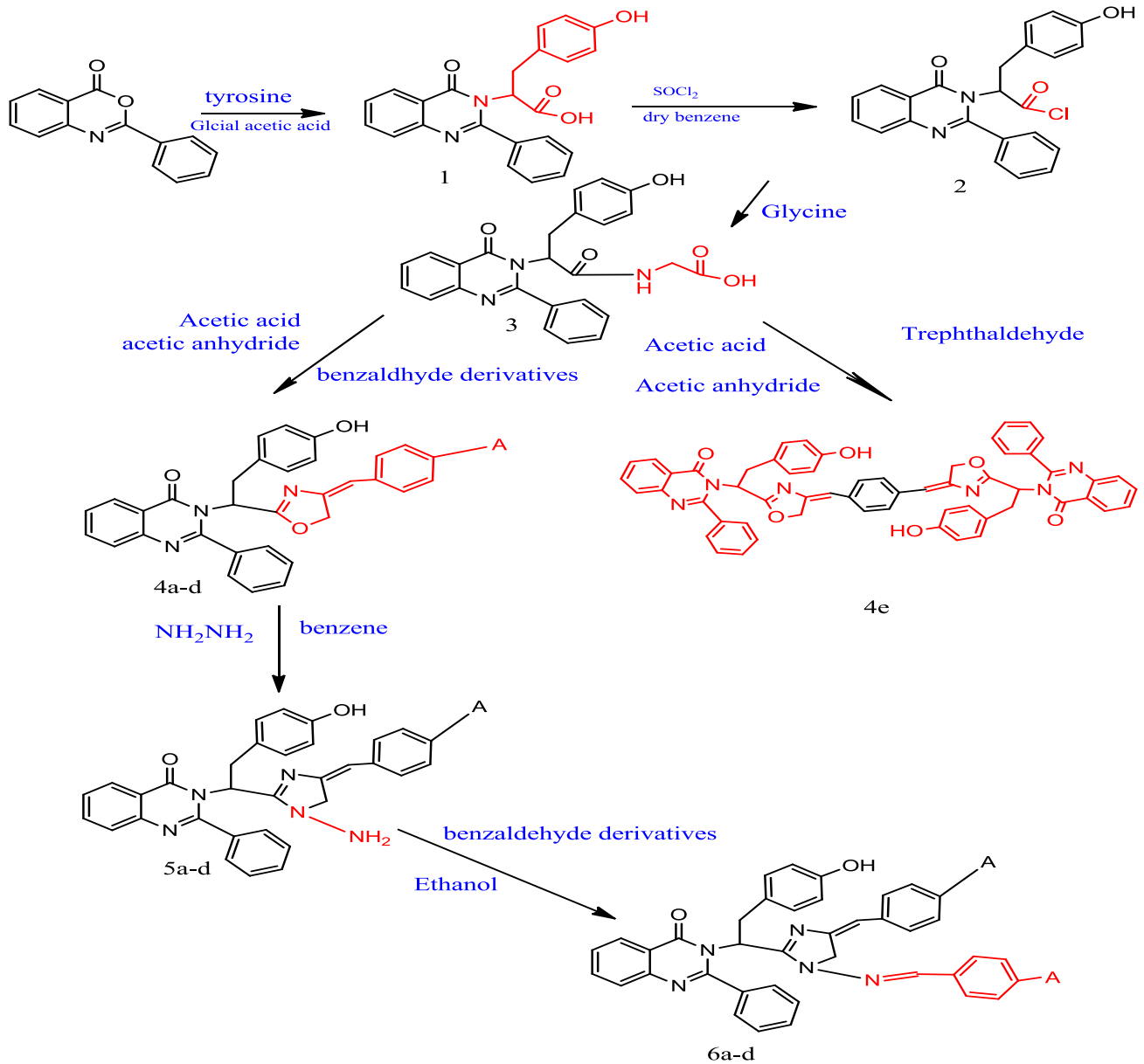
Figure 11: [13]NMR for compound (6a)

Conclusion

In this present work, we have synthesized new 1H-imidazol-5(4H)-one Derivative, the structures of the newly synthesized compounds were analyzed by FT-IR, (CHNCl), 1H, 13CNMR spectroscopy. Found that some compounds have biological activity against species of bacteria

Table 1: physical properties and spectral data for synthesized compounds (1-6b)

Compound Number	Chemical formula	M.wt g /mole	Color	m.p. C⁰	Yield%	Purification solvent
1	$C_{23}H_{18}N_2O_4$	386	Yellow	158-160	73	Ethanol
2	$C_{23}H_{17}ClN_2O_3$		Dark Yellow	115-117	60	Ethanol
3	$C_{24}H_{19}N_3O_5$	388	White	147-149	84	Ethanol
4a	$C_{34}H_{28}N_4O_4$	556	Light gray	104-106	85	Ethanol
4b	$C_{33}H_{25}N_3O6$	559		106-108	83	Ethanol
4c	$C_{32}H_{23}N_3O_6$	545	Light yellow	110-111	81	Ethanol
4d	$C_{32}H_{21}Cl_2N_3O4$	582	Light earthy	96-98	75	Ethanol
4e	$C_{58}H_{40}N_6O_8$	948	nutty	100-102	65	Ethanol
5a	$C_{34}H_{30}N_6O_3$	570	white	156-158	80	Ethanol
5b	$C_{33}H_{27}N_5O_5$	573	Light yellow	168-170	80	Ethanol
5c	$C_{32}H_{25}N_5O_5$	559	white	180-181	78	Ethanol
5d	$C_{32}H_{23}Cl_2N_5O_3$	596	Pale Orange	163-165	73	Ethanol
5e	$C_{58}H_{44}N_{10}O_6$	977	orange	224-226	72	Ethanol
6a	$C_{41}H_{34}N_6O_5$	690	Orange	228-230	56	Ethanol
6b	$C_{40}H_{29}Cl_2N_5O_5$	730	Dark orange	208-210	60	Ethanol



A= 4-dimethylaminophenyl, 4-hydroxy-3-methoxy phenyl, 2, 4-dihydroxy phenyl, 2, 4-dichloro phenyl, A_1= 4-dimethylaminophenyl, 4-hydroxy -3-methoxy phenyl

Scheme 2 shows the methods of preparation of compounds and compounds added in each reaction

Antibacterial Activity

Antibacterial activity of the newly synthesized compounds (3, 4a-d, 5a-d, 6a, and 6b) was tested in vitro against Strep. Pyogenic, S. aureus, P. aerogenosa,and K. pneumonia by using agar diffusion method [33].The effect of synthesized compounds showed that antibacterial activity was recorded as average diameter in mm of inhibition zone; It was found that some of these compounds have good biological activity against the bacteria used as shown in Table2.

Table 2: The antibacterial activity of synthesized compounds

Compound No	Gram +ve		Gram -ve	
	Strep. Pyogen	*S. aureus*	*P. aerogenosa*	*K. pneumonia*
3	17	18	24	26
4a	-	7	12	11
4b	15	17	17	16
4c	16	18	20	22
4d	19	20	28	27
5a	-	-	-	12
5b	14	10	-	12
5c	16	15	17	19
5d	18	15	22	21
6a	-	-	11	12
6b	12	16	17	19

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References

1. Katritzky AR, Ramsden CA, Scriven EFV, Taylor RJ K. Eds (2008) In Comprehensive Heterocyclic Chemistry III; Elsevier, Oxford, 3-6.
2. Gil C, Bräse SJ (2009) Comb. Chem., 9: 175-197.
3. Carey JS, Laffan D, Thomson C, Williams M T (2006) Org. Biomol. Chem., 4: 2337-2347.
4. Dömling A (2006) Chem. Rev 2006, 106, 17-89.
5. Rück-Braun K, Freysoldt THE, Wierschem F (2005) Chem. Soc. Rev, 34: 507-516.
6. Vaghasia S, L Shah, V HJ (2007) Serb Chem. Soc., 72: 109-117.
7. Hatem AA, Mohamed M, Hazem G, Rashad Al S (2017) Saudi Pharmaceutical Journal 25:1047-1054.
8. Fadhel OE, Kadhum JK (2018) Asian Journal of Chemistry, 30 (8):1706-1710.
9. Vijaianand PR, Suresh K, Sivakuma Rr (2009) Asian Journal of Chemistry, 21 (9): 6656-6660.
10. Kale AA, Durgade KM (2017) International Journal of Scientific Research in Science and Technology, 3(9): 17-23.
11. Sinha NK, Asnani A, J Dravyakar, B R (2013) Asian journal of pharmaceutical and clinical research, 6: 412-416.
12. Mohamed M, S Kamel, M M Kassem, E M M Abotalebkhedr, NM Ahmed (2011) M. f. Pharmaceutical Drug Research, 68 (5): 665-675.
13. Patel HU, Patel RS, Patel CN (2013) Journal of Applied Pharmaceutical Science, 3(3): 171-174.
14. Jadhav VB, Kulkarni MV, Rasal VP, Biradar SS, Vinay M D(2007) Eur. J. Med. Chem., 43 (8):1721-1729.
15. Kolavi G, Hegde V, Khan I, Gadad P (2006) Bioorg. Med. Chem., 14: 3069-3080.
16. Andotra CS, Langer TC, Kotha A (1997) J Indian Chem. Soc., 74(2): 125-127.
17. Luca D (2006) Curr, L.J. Med. Chem. 2006, 13, 1,1-23
18. Kidwai M, Mothsra P (2006) Tetrahedron. Lett., 47,5029-5031.
19. K Gadad, A Mahajanshetti, CS Nimbalkar, S Raichur (2000) A. Eur. J. Med. Chem., 35: 853-857.
20. Kan HC (2007) Tetrahedron. Lett., 63: 1644-1653.
21. Terzioglu N, Gursoy A (2003) Eur. J. Med. Chem., 38: 781-786.

22. Lutz RE, Bailey PS, Clark MT, Codington JF, Deinet AJ, Freek JA, Harnest GH, Leake NH, Martin TA, Rowlett RJ, Salsbury JM, Shearer NH, Doyle Smith, J Wilson JW(1946)Antimalarials.1 a-alkyl and dialkylaminomethyl-2-phenyl-4-quinoline methanol.,J. Am. Chem. Soc., 68: 1813-1831.

23. Ahmed N, Brahmbhatt KG, Sabde S, Mitra D, Singh IP, Bhutani KK (2010) Synthesis and anti-HIV activity of alkylatedquinoline 2,4-diols.,Bioorg. Med. Chem., 18: 2872-2879.

24. Munawar MA, Azad M, Athar M (2008) Groundwater, P.W. Synthesis and antimicrobial activity of quinoline-based 2-pyrazolines.Chem. Pap, 62: 288-293.

25. Atwell GJ, Baguley BC, Denny WA (1989) Potentialantitumoragents. 57. 2-Phenylquinoline-8-carboxamides as minimal DNAintercalating antitumor agents within vivo solid tumor activity., J. Med. Chem.,32: 396-401.

26. Cheng XM, Lee C, Klutchko S, Winters T, Reynolds EE, Welch KM, Flynn MA, Doherty AM (1996) Synthesis and structure-activity relationships of 9-substituted acridines as endothelin-A receptor antagonists. Bio org. Med. Chem. Lett., 6: 2999-3002.

27. Nanda AK, Ganguli S, Chakraborty R Molecules, 12: 2413-2426.

28. Kumar A, Rajput CS, Bhati SK (2007) Bioorg. Med. Chem., 15: 3089-3096.

29. Jatav V, Mishra P, Kashaw S, Stables JP (2008) Eur. J. Med. Chem., 43:135-141.

30. Stokes NR, Baker N, Bennett JM, Chauhan PK, Collins I, Davies DT, Gavade M, Kumar D, Lancett P, Macdonald R, Macleod L, Mahajan A, Mitchell JP, Nayal N, Nayal YN, Pitt GR, W Singh, M Yadav, A Srivastava, A Czaplewski, LG Haydon (2014) DJ Bioorganic Med. Chem. Lett., 24: 353-359.

31. Andrew D, Simon EW (2015) The Handbook of Medicinal Chemistry: Principles and Practice, Royal society of chemistry, 1: 642.

32. Valgas C, Desouza SM, Smania EF (2007) A Screening methods to determine the antibacterial activity of natural products., Braz. J. Microbiol., 38: 369-380.

33. Arteaga CL, Johnson DH (2001) Tyrosine kinase inhibitors-ZD1839 (Iressa). Curr. Opin. Oncol., 13: 491-498.

