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Sythesis of Some New Quinazolin-4-one Derivatives

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TREATMENT of 3,4-dihydroquinazolin-4-one (2a) with P_2S_5 yielded the corresponding thione 3 that treated with hydrazine/or 2-aminoethanol afforded quinazoline derivatives 4.The hydrazinoquinazoline 4b converted to (sulphahydryl and/or methyl) triazoloquinazoline *via* interaction with CS_2 and Ac_2O. On the other hand, compound 2a reacts with ethyl chloroacetate yielded the ester derivative 9 which converted to the corresponding hydrazide 10 *via* interaction with hydrazine hydrate. Its behavior of hydrazide 10 towards carbon electrophiles, *e.g.*, thiophene-2-carboxaldehyde, furfural, piperonal, o-anisaldehyde, phthalic anhydride, ammonium thiocyanate, acetyl acetone, Ac_2O and ethyl acetoacetate afforded compounds 11-16. Also, behavior of compound 2b towards carbon electrophiles, *e.g.* acetic anhydride and benzoyl chloride afforded 17. A moderate activity was observed with new quinazolinone compounds 4-10 which proved to possess marked activity against *E. coli*, *S. aureus* and *C. albicans*. The strong activity was observed with compounds 3,11-17.

Keywords: (3H) quinazolin 4one, 1,3,4 Oxadiazolequinazolinone, Phthali-mido, Furan, Thiophene,Pyrazoloquinazoline and 1, 2, 4-Triazole quinazoline.

Many substituted 4(3H)-quinazolines are known to possess diverse biological activities as antimalarials[(1)], hyponotics[(2)], anticonvulsant[(3)], anti-protozoal agents[(4)], bacteriostatic and anti-fungal [(5)]. Also, several styryl heterocycles have been reported to exhibit antitumor activity[(6)] and anti-HIV [(7)], as aurora 2 kinase inhibitor, for treatment of proliferative diseases[(8)] and as dyes on silk, wool and viscose rayon[(10)], also, the wide range of pharmacological properties of quinazoline derivatives such as analgesic and anti-inflammatory[(11)], antihistaminic[(12)], antihypersive[(13)]. This prompted us to synthesize some new quinazolin-4-one derivatives and evaluat their antimicrobial effects.

Discussion

The reaction of anthranil 1 with formamide and/or hydroxyl amine hydrochloride, afforded quinazolin-4-one (2) which are investigated as before[(14)], treatment of 3,4 dihydroquinazolin-4-one (2a) with P_2S_5 in dry toluene gave 2-(1-methylethyl)-3,4-dihydroquinazolin-4-thione (3) which has highly

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antimicrobial effects. Interaction of thione 3 with hydrazin hydrate and/or 3-amino propanol, afforded 2-(1-methylethyl)-4(3-hydrazino and/or hydroxyl propyl-amino) quinazoline (4).Fused azoloazine systems have attracted attention due primarily to the fact that they are widespread among natural biologically active compounds(28). So, 1,2,4-triazolo [4,3-c] quinazolines 5, 6 have been created *via* interaction of 4-hydrazino quinazoline derivative 4a with carbon electrophiles namely, Ac_2O & CS_2, respectively. Compound 6 was confirmed chemically *via* its reactions with N_2H_4 to afford the corresponding hydrazine derivative 7. But when the hydrazino quinazoline derivative 4a was allowed to react with furfural, it afforded hydrazone derivative 8 (26) (Scheme 1).

The reaction of quinazolinone 2 with ethyl chloroacetate in presence of K_2CO_3 in boiling dioxane afforded 2-(1-methylethyl) -3-ethoxycarbonyl (methyl/or methoxy) 3,4-dihydroquinazolin-4-one (9). Treatment of ester 9 with N_2H_4 in boiling ethanol gave the corresponding hydrazide 10 which is considered as a key starting material to synthesize some heterocycles that linked to quinazolinone nucleus to improve their biological activity especially 1,3,4-oxadiazole which are known to exhibit diverse pharmacological activities like antimicrobial(15-17,25), antihistaminic(18), anticancerous(19-20), anti-inflammatory(21-23) and anti-hypertensive activities(24). So, reaction of compound 10a with thiophene-2-carboxyaldehyde in boiling ethanol afforded 2-(1-methylethyl) -3-(thien-2-yl methylidine amino carbamoyl methyl) 3,4-dihydroquinazolin-4-one (11d) and 2-(thien-2-yl)-5-(2-(1-methylethyl) 3,4-dihydroquinazolin-3-yl) methyl-1,3,4-oxadiazole (12), which is confirmed by microanalytical data.The authors offer speculation to explain the formation of 12 is due to enhancement of electron density at thiophene carbon atom resulted in ring closure of arylidine 11d. Also, our research has described a normal condensation of hydrazide 10a with aromatic aldehyde, namely o-anisaldehyde, furfural and piperonal to yield hydrazone derivatives 11. Moreover, 1,3,4-oxadiazolyl quinazolin derivatives 13, 14 can be also synthesized by treatment of hydrazide 10a with Ac_2O and/or ethylacetoacetate afford 2-(2-(1-methylethyl) 3,4-dihydroquinazolin-3-yl) methyl-5-(methyl /or acetonyl)-1,3,4-oxadiazole (13a) and (13b), respectively (Scheme 2).

Quinazolines bearing pyrazolo & triazolo nucleus(25), increase their biological activity in addition of extensive spectrum of pharmacological activity and have gained more importance in recent decades for biological, medicinal and agricultural reasons.

Treatment of hydrazide 10a with acetylacetone in boiling ethanol yielded 2-(1-methylethyl)-3-[4`-acetyl-5`-methyl pyrazol-3`-yl] methyl-3,4-dihydroquinazolin -4-one (14) and reaction of hydrazide 10a with ammonium thiocyanate in an oil bath afforded 2- (1-methylethyl) -3- (1, 2, 4 - triazolo -5- thion-3yl) methyl3, 4dihydroquinazolin -4-one (15). Furthermore, the presence of phthalimido groups in side chain of quinazolinone increases their biological activity(25a,27). So,the reaction of hydrazide 10a with phthalic anhydride gave 2-1-methylethyl l-3-

phthalimido carbamoyl methyl quinazolin-4-one (16). On the other hand, treatment of 2-(1-methylethyl)-3-hydroxy-3,4-dihydroquinazolin-4-one (2b) with boiling Ac_2O and/or benzoyl chloride in sodium hydroxide afforded 2-(1-methylethyl) - 3-(acetoxy/ benzoyloxy)-4(3H)- 3,4-dihydroquinazolin-4-one (17).

Biological investigation

Antimicrobial activity

The antimicrobial screening of all the synthesized compounds was done using the agar diffusion assay. This screening was performed against the Gram-positive bacteria, Gram-negative bacteria, *staphylococcus aureus atcc* 06538, *Escherechia coli* Atcc 10536, pathogenic fungi *Candida albicans* Atcc 1023 and *Aspergills flavus*. A moderate activity was observed with compounds which proved to possess marked activity against *E. coli, S. aureus* and *C. albicans.* The strong activity was observed with compound 3, 11-17.The inhibitory concentration was determined for each of the active compounds along with Ampicillin, Streptomycin and Nystatin as positive control. No activity was detected for all the synthesized compounds, toward *Aspergillus flavus*. Results are shown in the following Table 1.

TABLE 1. **Antimicrobial screening results of tested compounds at 1 mg/1 ml.**

Compound No.	*E. coli*	*S. aureus*	*A. flavus*	*C. albicans*
3	14	16	0.0	12
4a	13	12	0.0	11
4b	12	12	0.0	12
5	15	14	9.0	11
6	13	12	11	12
7	11	14	10	12
10	13	13	0.0	11
11a	12	11	0.0	10
11b	11	11	0.0	11
11c	12	12	0.0	12
12	12	11	0.0	12
13	13	12	0.0	11
14	12	12	0.0	10
15	14	13	0.0	11
17a	16	16	0.0	13
17b	15	14	0.0	12
Ampicillin	0.0	22	0.0	0.0
Streptomycin	20	21	0.0	0.0
Nystatin	0.0	0.0	0.0	22

No activity (0.0), inhibition zone (< 7 mm), weak activity (7-10), moderate activity (11-15 mm), strong activity (> 15 mm), solvent $CDCl_3$ (6 mm).

Experimental

All melting points are uncorrected, Elemental analysis were carried out in the Microanalytical Center, Cairo University. IR spectra were recorded on a Pye Unicam SP2000 spectrophotometer and ^{1}H NMR spectra in DMSO (d_6) on Varian A 60 equipment using TMS as standard. EI-MS were recorded on a Mass GC MS-Q Ploopx Shimadzu. Homogeneity of all compounds was checked by TLC. Characterization data of the various compounds prepared are given in Table 2.

TABLE 2. Charactersization and physical data of the synthesized compounds.

Compd No.	M.P. (°C) (yield,%)	Solvent of crys. (colour)	Mol. Formula (M.wt)	Analysis% Cal/Found			
				C	H	N	S
3	212 (50)	Benzene (pale yellow)	$C_{11}H_{12}N_2S$ (204)	64.70 65.00	5.88 5.83	13.72 13.22	15.68 (15.88)
4a	132 (50)	Benzene (yellow)	$C_{11}H_{14}N_4$ (202)	65.34 65.84	6.93 6.90	27.72 24.41	- -
4b	172 (75)	Benzene (colorless)	$C_{14}H_{19}N_3O$ (245)	68.57 68.07	7.75 7.70	17.14 17.44	- -
5	172 (50)	Benzene (yellow)	$C_{13}H_{14}N_4$ (226)	69.02 69.52	6.19 6.30	24.77 24.51	- -
6	292 (80)	toluene (yellow)	$C_{12}H_{12}N_4S$ (244)	59.01 (59.0	5.91 (5.8	22.90 (22.6	13.11 (13.21)
7	232 (55)	Butanol (colorless)	$C_{12}H_{14}N_6$ (242)	59.50 59.25	5.78 5.81	34.71 34.51	- -
8	>300 (50)	Ethanol (yellow)	$C_{16}H_{16}N_4O$ (280)	68.57 69.00	5.71 5.70	20.00 20.31	- -
9a	98 (60)	Pet 80-100 (colorless)	$C_{15}H_{18}N_2O_3$ (274)	65.69 65.41	6.56 6.50	10.21 10.61	- -
10a	222 (75)	Toluene (colorless)	$C_{13}H_{16}N_4O_2$ (260)	60.00 61.23	6.15 6.31	21.53 21.41	- -
10b	158 (50)	Benzene (white)	$C_{13}H_{16}N_4O_3$ (276)	56.5 (57.1)	5.79 5.74	20.28 20.31	- -
11a	>300 (50)	Ethanol (yellow)	$C_{18}H_{18}N_4O_3$ (338)	63.90 63.71	5.32 (5.3)	16.56 16.78	- -
11b	224 (45)	Ethanol (colorless)	$C_{21}H_{20}N_4O_4$ (392)	64.28 64.39	5.10 5.00	14.28 14.41	- -
11c	210 (60)	Toluene (colorless)	$C_{21}H_{22}N_4O_3$ (378)	66.66 65.98	5.82 5.68	14.81 14.56	- -
11d	230 (55)	Toluene (white)	$C_{18}H_{18}N_4O_2S$ (354)	61.01 61.13	5.08 5.21	15.81 16.11	9.03 (9.23)
12	160 (35)	Benzene (yellow)	$C_{15}H_{16}N_4O_2$ (284)	63.36 63.51	5.63 5.60	19.71 20.11	- -
13a	>300 (84)	Butanol (colorless)	$C_{15}H_{16}N_4O_2$ (284)	63.36 63.51	5.63 5.60	19.71 20.11	- -
13b	132 (75)	Benzene (pale yellow)	$C_{17}H_{18}N_4O_3$ (274)	62.56 62.34	5.52 5.51	17.17 17.11	- -

TABLE 2. Cont.

Compd No.	M.P.(°C) (yield,%)	Solvent of crys. (colour)	Mol. Formula (M.wt)	Analysis% Cal/Found			
				C	H	N	S
14	132 (50)	Benzene (pale yellow)	$C_{17}H_{19}N_4O_3$ (311)	65.59 65.31	6.11 6.21	18.00 18.11	- -
15	122 (45)	Benzene (orange)	$C_{14}H_{15}N_5S$ (238)	70.58 71.1	6.30 6.8	29.41 29.6	13.44 13.44
16	252 (80)	Ethanol (colorless)	$C_{21}H_{18}N_4O_4$ (390)	64.61 64.35	4.61 4.47	14.35 14.56	- -
17a	116 (55)	Pet 80-100 (pale brown)	$C_{13}H_{14}N_2O_3$ (246)	63.41 64.35	5.69 5.89	11.38 11.01	- -
17b	140 (75)	Pet 80-100 (colorless)	$C_{18}H_{16}N_2O_3$ (308)	70.12 69.99	5.19 4.88	9.09 (9.36)	- -

2-(1-Methylethyl)- 3,4-dihydro quinazolin-4-thione (3)

A solution of isopropylquinazolin-4-one (1.88 g, 0.01 mol) and phosphorus pentasulfide (2.23 g, 0.01 mol) in dry xylene was refluxed for 3 hr. The undisolved phosphours pentasulfide during the reflux filtered off on hot and the mother liquor was concentrated. The solid that separated on cold was filtered off and crystallized.

2-(1-Methylethyl)-4-hydrazino and/or 4-(3-hydroxypropylamino) quinazoline(4)

A solution of 3 (0.01 mol) and hydrazin hydrate and/or 3-amino propanol (0.01 mol) in ethanol (30 ml) was heated under reflux for 3 hr. the solid that separated after cooling was filtered off and was crystallized.

2-(1-Methylethyl) -5`-methyl-1`,2`,4`-triazolo[4,3-c] 3,4-dihydro quinazoline (5)

A solution of 4a (2 g, 0.01 mol) and acetic anhydride (10 ml) was heated for one hour on a water bath. The solid that separated after cooling was filtered off and Mcrystallized.

2-(1-Methylethyl)-1`,2`,4`-triazolo-5`-thione[3,4-c]quinazoline (6)

A solution of 4a (2 g, 0.01 mol), carbon disulfide (3.82 g, 0.05 mol) and anhydrous potassium hydroxide (0.5 g) in absolute ethanol (10 ml) was refluxed on a water bath for 6 hr. The reaction mixture after cooling and concentration was poured into crushed ice. The solid that separated filtered off and was crystallized.

2-(1-Methylethyl)-1`,2`,4`-triazolo-5`-hydrazino[3,4-c]quinazoline (7)

A solution of 6 (2.45 g, 0.01 mol) and hydrazine hydrate (1 g, 0.02 mol) in ethanol (30 ml) was heated under reflux for 3 hr. The solid that separated was filtered off and was crystallized.

2-(1-Methylethyl)-4-furfurylidinehydrazino quinazoline (8)

A solution of 4a (2 g, 0.01 mol) and furfural (1 g, 0.01 mol) in ethanol (20 ml) was heated under reflux for 3 hr. The solid that separated after cooling was filtered off and crystallized .

2-(1-Methylethyl)-3-[(ethoxycarbonyl) methyl /or methoxy]quinazolin-4-one (9)

A mixture of quinazoline 2 (0.01 mol), ethylchloroacetate (2.4 ml, 0.02 mole) and anhydrous potassium carbonate (5 g, 0.09 mol) in dry dioxane (50 ml) was refluxed for 24 hr. The excess solvent was then removed by distillation and the residue was diluted with water. The obtained solid was filtered off and crystallized.

2-(1-Methylethyl) -3-[(hydrazinocarbonyl)methyl /or methoxy] quinazolin-4-one (10)

A solution of ester 9 (0.01 mol) and hydrazine hydrate (0.5 g., 0.01 mole) in ethanol (30 ml) was refluxed for 3 hr. The reaction mixture was concentrated and the obtained solid was filtered off and crystallized .

2-(1-Methylethyl) -3-[arylidine hydrazino carbonylmethyl] quinazolin-4-one (11)

A solution of hydrazide 10a (2.6 g, 0.01 mol) and aldehyde namely, furfural, piperonal and anisalde-hyde (0.01 mol) in ethanol (30 ml) was refluxed for 3 hr. the reaction mixture allowed to cool and the obtained solid was filtered off and crystallized form the proper solvent to give 11a,b or c.

2-(1-Methylethyl) -3-thione-2-yl methylidene amino carbamoylmethyl 3,4-dihydroquinazolin-4-one (11d) and 2-(thien-2-yl)-5-(2-(1-methylethyl) quinazolinon-3-yl)methyl-1,3,4-oxadiazole (12).

A mixture of hydrazide 10a (2.6 g, 0.01 mol) and thiophene-2-carboxaldehyde (1.28 g, 0.01 mol) in ethanol (30 ml) was refluxed for 3 hr. The reaction mixture was allowed to cool and the obtained solid was filtered off and crystallized from benzene to give 12. The insoluble fraction in benzene was crystallized from toluene to give 11d.

2-(1-Methylethyl)-3[2`-(methyland/oracetonyl)-1`,3`,4`-oxadiazolo-5-yl] methyl -3,4-dihydro quinazolin-4-one (13)

A solution of 10a (2.6 g, 0.01 mol) and acetic anhydride /or ethyl acetoacetate (0.01 mol) in 30 ml ethanol was refluxed for 3 hr. The reaction mixture was allowed to cool and the obtained solid was filtered off and crystallized.

2-(1-Methylethyl) 3-[4`-acetyl-5`-methyl pyrazol-3`-yl] methyl 3,4-dihydro quinazolin-4-one (14)

A solution of 10a (2.6 g, 0.01 mol) and acetyl acetone (1.04 g, 0.01 mol) in ethanol (30 ml) was heated under reflux for 3 hr. The reaction mixture was allowed to cool and the obtained solid was filtered off and crystallized.

2-(1-Methylethyl) -3-(1`,2`,4`-triazolo-5`-thione-3-yl)methyl quinazolin-4-one (15)

A mixture of 10a (2.6 g, 0.01 mol) and ammonium thiocyanate (2.32 g, 0.02 mol) was heated in an oil bath at 150 °C for 1 hr, the mixture was poured onto water after cooling. The solid that separated was filtered off and crystallized.

2-(1-Methylethyl)-3-phthalimidocarbomylmethyl-3,4-dihydroquinazolin-4-one(16)

A solution of hydrazide 10a (2.6 g, 0.01 mol) and phthalic anhydride (1.28 g, 0.01 mol) in ethanol (30 ml) was heated under reflux for 3 hr. The reaction mixture was allowed to cool and the solid obtained was filtered off and crystallized.

2-(1-Methylethyl)-3-acetoxy-3,4-dihydro quinazolin-4-one (17a).

A solution of 2-(1-methylethyl)-3-hydroxy-4(3H)-quinazolinone 2b (1.8 g, 0.01 mol) and acetic anhydride (10 ml) was refluxed for 1 hr. The reaction mixture was allowed to cool and the obtained solid was filtered off and crystallized.

2-(1-Methylethyl) -3-benzoyloxy-3,4-dihydro -quinazolin-4-one (17b)

A solution of 2b (1g, 0.05 mol) in 10% sodium hydroxide (15 ml) and benzoyl chloride (2 ml, 0.015 mol) was left for 20 min under stirring. The solid that separated from the reaction mixture was filtered off and crystallized .

TABLE 3.

Compd	**IR (KBr) cm^{-1}**	**MS m/e** $M^{+\cdot}$]	**^{1}H NMR [DMSO], δ ppm**
3	ν_{NH} 3171, ν_{CHAr} , 3050, ν_{CHAli} 2885 $\nu_{C=N}$ 1607, $\nu_{C=S}$ 1158	204	δ 1.1 (d, 6H, 2CH_3 J = 7.2), 2.8 (m, 1H, methine proton, J = 7.2), 7.4-7.8 (m, 4H, ArH), 8.5 (s, 1H, NH).
4a	ν_{NH} 3147-3285, , ν_{CHAr} , 3050, ν_{HAli} 2885 $\nu_{C=N}$ 1618	202	δ 1.13 (d, 6H, 2Me J = 7.2), 2.8 (m, 1H, methine proton J = 7.2), 7.6-7.9 (m, 4H, ArH), 8.7 (s, 1H, NH), 9.3 (s, 2H, NH_2)
4b	ν_{OH} 3452, ν_{NH} 3285, ν_{CHAr} , 3050, ν_{CHAli} 2885 $\nu_{C=N}$ 1618	245	δ 1.2 (d, 6H, 2Me J = 7.2), 2.9 (m, 1H, CH J = 7.2), 3.9 (m, 8H, C_3H_6), 7.5-7.9 (m, 4H, ArH), 8.8 (s, 1H, NH), 10.1 (s, 1H, OH)
5	, ν $_{CHAr}$, 3050, ν_{CHAli} 2885 $\nu_{C=N}$ 1614, lack any band for $_{C=O}$	226	δ1.1(d,6H,2Me),2.7(m, 1H, CH), 2.9 (s, 3H, Me), 7.6-7.8 (m, 9H, ArH)
6	ν_{NH} 3120 , ν_{CHAr} , 3050, ν_{CHAli} 2885 ν_{SH} 2792, $\nu_{C=S}$ 1155, $\nu_{C=N}$ 1630,	244	δ1.2(d,6H,2Me J =7.2),2.8(m, 1H, CH J =7.2), 7.5-7.8 (m, 4H, ArH), 8.7 (s, 1H, NH)
7	$\nu_{NH,NH2}$ 3183, 3278, 3422 , ν_{CHAr} , 3050, ν_{CHAli} 2885 $\nu_{C=N}$ 1625,	242	δ 1.1 (d, 6H, 2Me J = 7.2), 3 (m, 1H, CH J = 7.2), 7.7-8.1 (m, 4H, ArH), 8.6 (s, 1H, NH), 9.5 (s, 2H, NH_2)
8	ν_{NH} 3373, ν_{CHAr} , 3050, ν_{CHAli} 2885 $\nu_{C=N}$ 1628,	280	δ 1.2 (d, 6H, 2Me J = 7.2), 2.9 (m, 1H, CH J = 7.2), 5.1 (s, 1H, -N=CH-), 6.6 (m, 3H, CH of furyl), 7.4-7.8 (m, 4H, ArH), 8.9 (s, 1H, NH)
9a	, ν_{CHAr} , 3050, ν_{CHAli} 2885 ν_{CO} 1678, 1736 attributable to Cyclic amide & ester	274	δ 1.1 (d, 6H, 2Me J =7.2), 1.3 (t, 3H, Me J =7.2), 2.8 (m, 1H, CH), 4.0 (q, 2H, CH_2), 4.3 (s, 2H, CH_2), 7.5-7.8 (m, 4H, ArH)
9b	ν_{CHAr} , 3050, ν_{CHAli} 2885 ν_{CO} 1678, 1736 attributable to Cyclic amide & ester	290	δ1.1 (d, 6H, 2Me J = 7.2), 1.3 (t, 3H, Me, J = 7.5), 2.8 (m, 1H, CH J = 7.2), 4.1 (q, 2H, CH_2), 5.2 (s, 2H, CH_2,, J = 7.5), 7.5-7.8 (m, 4H, ArH)
10a	ν_{CHAr} , 3050, ν_{CHAli} 2885 ν_{CO} 1682, $\nu_{NH,NH2}$ 3170, 3424	260	δ1.1 (d, 6H, 2Me J = 7.2), 2.9 (m, 1H, CH J = 7.2), 4.2 (s, 2H, CH2), 7.4-7.8 (m, 4H, ArH), 8.3 (s, 2H, NH), 9.5 (s, 2H, NH_2)
10b	ν_{CHAr} , 3050, ν_{CHAli} 2885 ν_{CO} 1690, $\nu_{NH,NH2}$ 3185, 3410	276	δ1.3(d, 6H, 2Me), 2.7 (m, 1H, CH), 5.0 (s, 2H, CH2), 7.4-7.8 (m, 4H, ArH), 8.1 (s, 2H, NH), 10.7 (s, 2H, NH_2)
11a	ν_{CHAr} , 3050, ν_{CHAli} 2885 $\nu_{C=O}$ 1673 & 1678 str. of two carbonyl cyclic and amide linkage ν_{NH} 3218	338	δ 1.3 (d, 6H, 2CH_3 J = 7.2), 3.1 (m, 1H, methine proton J = 7.2), 3.5 (s, 3H, OCH_3), 4.9 (s, 2H, CH_2), 5.1 (s, 1H, CH=), 7.3-8.1 (m, 4H, ArH).
11b	ν_{CHAr} , 3050, ν_{CHAli} 2885 $\nu_{C=O}$ 1670 & 1682, ν_{NH} 3200	392	δ 1.3 (d, 6H, 2CH_3 J = 7.2), 3.1 (m, 1H, methine proton J = 7.2), 3.5 (s, 3H, OCH_3), 4.9 (s, 2H, CH_2), 5.1 (s, 1H, CH=), 7.3-8.1 (m, 4H, ArH).

TABLE 3. Cont.

Compd	IR (KBr) cm^{-1}	MS m/e $M^{+\cdot}$]	^{1}H NMR [DMSO], δ ppm
11c	$_{CHAr}$, 3050, ν_{CHAli} 2885 $\nu_{C=O}$, 1668 & 1675, νNM 3166	378	δ 1.3 (d, 6H, $2CH_3$ J = 7.2), 2.8 (m, 1H, methane proton J = 7.2), 4.9 (s, 2H, CH_2), 5.2 (s, 1H, CH proton), 6.3 (m, 3H, CH of furyl moiety), 7.4-8.2 (m, 4H, ArH), 11.8 (s, 1H, NH)
11d	ν_{CHAr}, 3050, ν_{CHAli} 2885 $\nu_{C=O}$ 1651-1670 str. of two carbonyl, ν_{NH} 3153	354	δ 1.2 (d, 6H, $2CH_3$ J = 7.2), 3.1 (m, 1H, methine proton), J = 7.2 3.3. (s, 1H, CH), 4.9 (s, 2H, CH_2CO), 7.1-7.4 (m, 3H, theiol), 7.6-8.2 (m, 4H, ArH), 11.8 (s, 1H, NH)
12	, ν_{CHAr}, 3050, ν_{CHAli} 2885 $\nu_{C=O}$ 1670, $\nu_{C=N}$ 1611	352	δ 1.3 (d, 6H, $2CH_3$ J = 7.2), 2.5 (m, 1H, methine proton J = 7.2), 3.3. (s, 1H, CH_2), 7.1-7.2 (m, 3H, thiophene ring), 7.5-8.4 (m, 4H, ArH)
13a	ν_{CHAr}, 3050, ν_{CHAli} 2885 $\nu_{C=N}$ 2885 $\nu_{C=O}$ 1683	284	1.3(d,6H,$2CH_3$),2.5(m,1H,methine),2.6(s,3H),4.3 (s,2H),7.2(m,4H,ArH)
13b	$\nu_{C=N}$ 1618 $\nu_{C=O}$ 1683 cm^{-1}, $\nu_{C=O}$ 1736	326	-1.2 (d, 6H, 2CH J = 7.2_3), 2.3(m, 1H, methine proton J = 7.2),4.4(s,2H),7.2-7.8(m,10H,ArH)
14	ν_{CHAr}, 3050, ν_{CHAli} 2885 $\nu_{C=O}$ 1670, 1720	311	-1.3 (d, 6H, $2CH_3$ J = 7.2), 2.5 (m, 1H, methine proton J = 7.2),2.3-2.5 (S,6H), 4.1(s,1H), 4.3 (s,2H), 7.1 (m,4H, ArH)
15	ν_{CHAr}, 3050, ν_{CHAli} 2885 $\nu_{C=S}$ 1165, $\nu_{C=O}$ 1682, ν_{NH} 3261	238	-1.4 (d, 6H, $2CH_3$ J = 7.2), 2.7 (m, 1H, methine proton J = 7.2),4.3(s,2H),7.2(m,4H),9.3(s,2H,NH)
16	$\nu_{C=O}$ 1680 amide, ν $_{C=O}$ 1739-1794, ν_{NH} 3194	290	-1.3 (d, 6H, $2CH_3$ J = 7.2), 2.4 (m, 1H, methine proton J =7.2),4.5(s,2H),7.1-7.5 (m,8H,ArH) ,9.8 (s,1H,NH)
17a	ν_{CHAr}, 3050, ν_{CHAli} 2885 $\nu_{C=O}$ 1688 (cyclic amide) and $\nu_{C=O}$ 1713 ester group	246	-1. (d, 6H, $2CH_3$ J = 7.2), 2.6 (m,1H, methine proton J = 7.2),5.2(s,3H),7.3(m,4H,ArH)
17b	$\nu_{C=O}$ 1687 (cyclic amide) and 1725 ester group	308	-1.3 (d, 6H, $2CH_3$ J = 7.2), 2.5 (m, 1H, methine proton J =7.2),7.2-7.8(m,9H,ArH)

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تخليق بعض مشتقات الكينازولينون الجديدة وتقييم نشاطها البيولوجى كمضادات للميكروبات

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يتضمن هذا البحث ١- تحضير بعض الكينازولينون الحاملة مجموعة الثيون والامينو ايثانول . وذلك من خلال معالجة الكينازولينون مع خامس اوكسيد الفوسفورو هيدرات الهيدرازين والامينو ايثانول للحصول على مشتقات الكينازولينون التى تستخدم لتحضير العديد من المركبات الغير متجانسة الحلقة المتحدة مع وحدة الكينازولينون التى سيصبح لها نشاط بيولوجى يتوقف على و ضع ونوع الوحدة (المركب الغير متجانس) المتحدة مع وحدة الكينازولينون.
٢- اجراء بعض التحاليل البيولوجية لاثبات فاعلية هذه المركبات ضد بعض الامراض البكتيرية و الفطرية
٣ - اثبات المركبات المحضرة بأجهزة التحاليل الدقيقة مثل الاشعة تحت الحمراء و الرنين المغناطيسى والكتلة الاكترونى.