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Synthesis of Some Heterocyclic Molecuoles from New Benzoxazinones and Quinazolinones

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THE BENZOXAXAZINONE 3 was prepared and treated with hydrazine hydrate, hydroxylamine hydrochloride, and o-phenylenediamine to give different quinazolinones 4,5 and benzoimidazoles 6, 7, respectively.Product 4 reacted with different aldehydes forming different Schiff's basses 9,10a - e. Also, it reacted with different Grignard reagents giving alcohols(11a,b) and ketones 11c,d, according to the bulkiness of the reagent. Finally, dibromo, monobromoamino and diaminoquinazolinones (12,13 a-d) & (14 a-d) were prepared upon addition of bromine to 4, followed by reacting different amines according to their molar ratios. Some benzoxazinone, and quinazolinone derivatives were tested for their antifungal and antibacterial activities and gave promising results.

Keywords: Quinazolinones, Benzoxazinones and Schiff's base.

Many studies have been focused on the synthesis of 3H-benzoxazin-4-one and 3H-quinazolin-4-one and their derivatives since they possess significant activities as antifungal[1-4], antibacterial, and antimiotic anticancer activity.In the present investigation, a new 3H- benzoxazin-4-one and 3H-quinazolin-4-one derivatives were prepared.

Results and Discussion

The bnzoxazin-4-one (3) was prepared and treated with hydrazine hydrate affording the 4H- quinazolin-4-one following the reaction sequence depcited in Scheme 1.

Previously[5], it was reported that the 4H-3,1-benzoxazinone derivatives gave the corresponding 4H-3,1- quinazolinone when reacted with hydroxylamine hydrochloride .Thus, in our case when the benzoxazinone 3 was treated with hydroxyl amine hydrochloride, the 3-hydroxy quinazolin-4-one derivative 5 was obtained.In addition to correct analytical data and I.R., the structure of 5 was also proved by C^{13} NMR .

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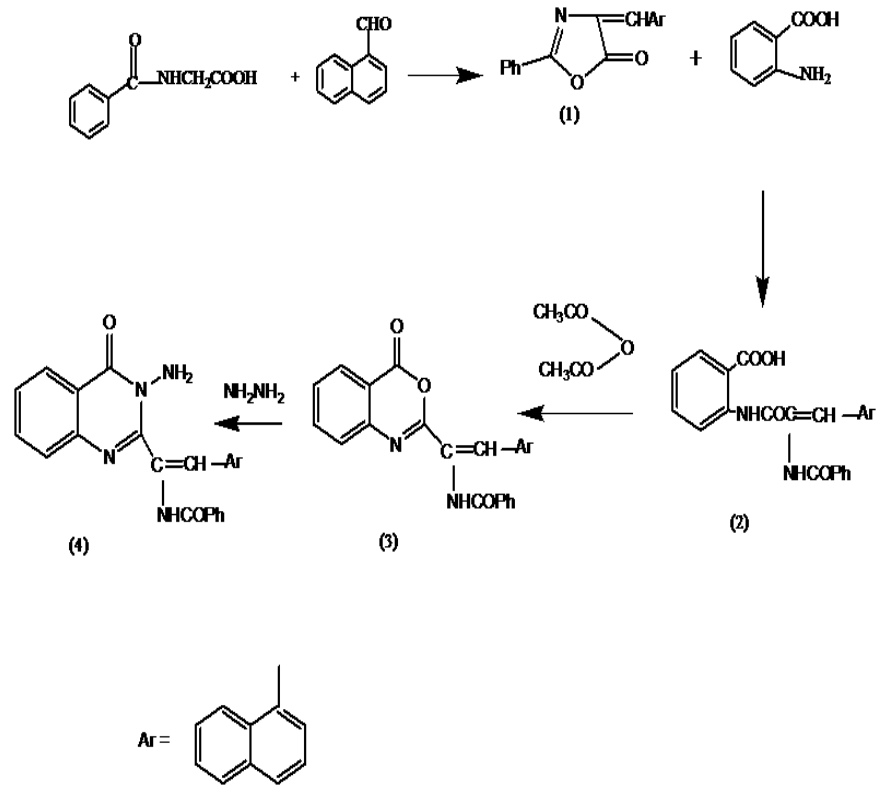
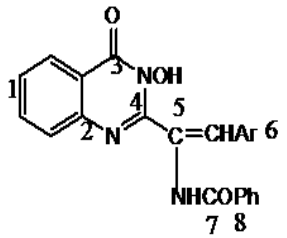
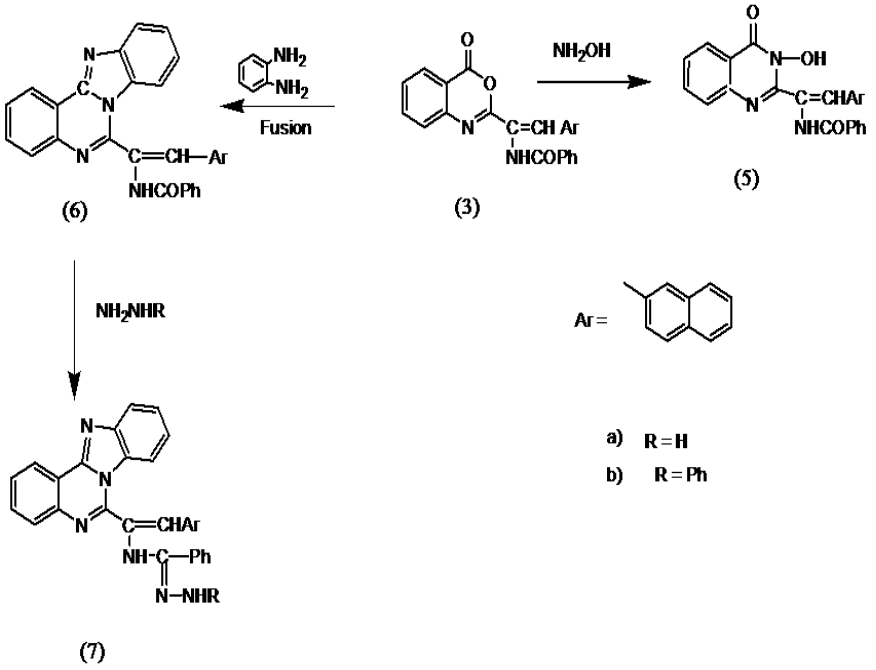


Scheme 1.



(1),(6) & (8)	125-135
(2)	153
(3)	168
(4)	167
(5)	77
(7)	177

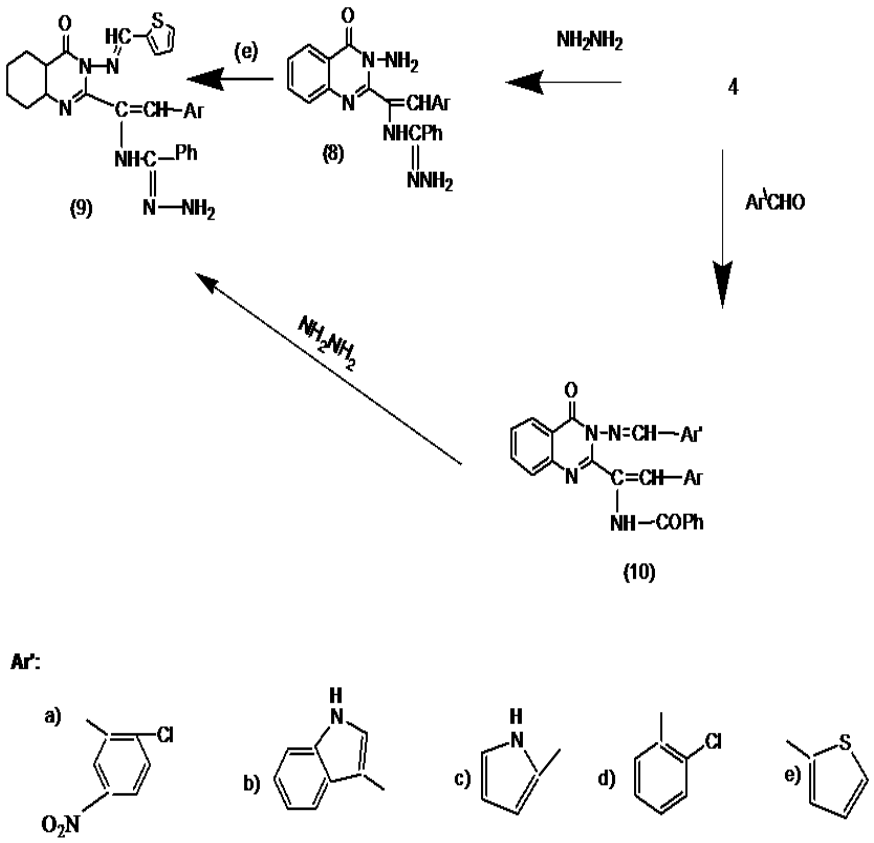
It has been reported[6], that the condensation of 2-aryl(alkyl)benzoxazinone with o-phenylenediamine, gave the corresponding 2- aryl – 3 – hetaryl - 4H3, 1 quinazolinones, however in our study, by fusion of 3 with o-phenylenediamine, the hetorocyclic benzoimidazole derivatives 6 was formed. Furthermore, on treating 6 with hydrazine hydrate or phenyl hydrazine in n- butanol, the corresponding hydrazino or phenyl hydrazino derivatives 7 a, b were respectively obtained. (*c.f.* Scheme 2).The structures of 3, 4, 6, & 7 were confirmed from analytical as well as spectral data.



Scheme 2.

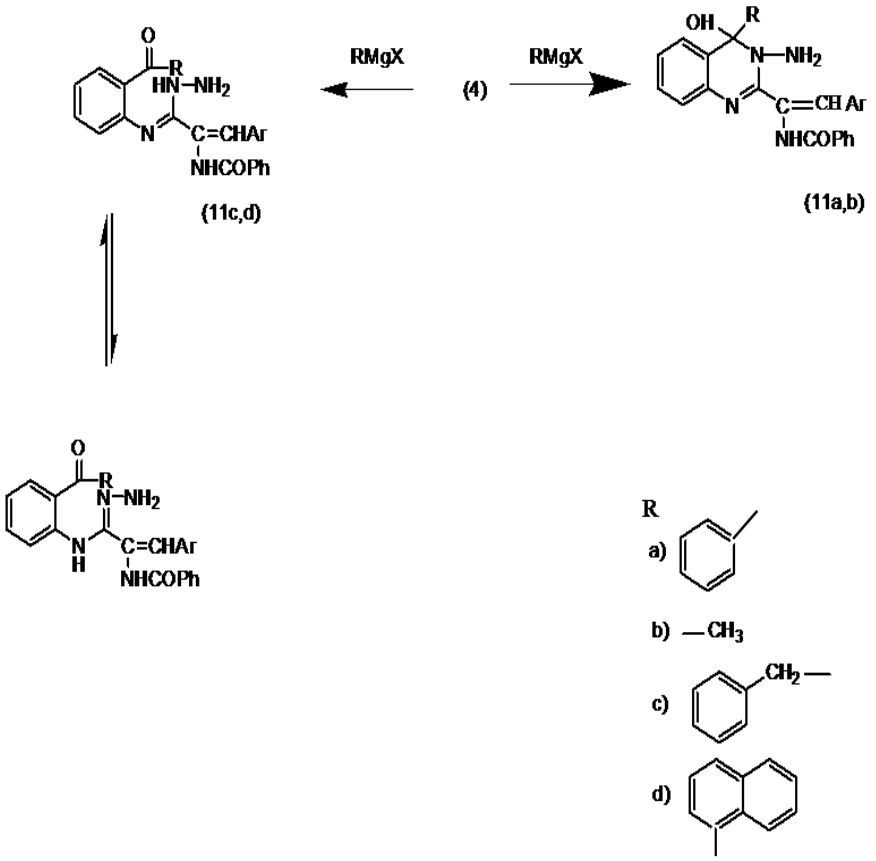
Owing to the great importance of the Schiff's basses as possessing antimicrobial and antibacterial activities[7], the authors focused their attention on preparing new Schiff's basses bearing quinazoline moiety. Thus, refluxing 4 with hydrazine hydrate in n-butanol, gave the hydrazino derivative 8 which undergoes condensation with thiophene-2-carboxaldehyde giving the Schiff's base 9.In addition to all analytical and spectral datae for proving the structure of 9,an authentic reaction was done by refluxing 10e with hydrazine hydrate giving 9 in good yield.

Also, 4 reacted with different aldehydes namely 2-chloro–5-nitrobenzal-dehyde, indole-3-carboxaldehyde, 2-chlorobenzaldehyde, and thiophene-2-carboxaldehyde (*c.f.* Scheme 3), to give the Schiff 's basses 10a - e .



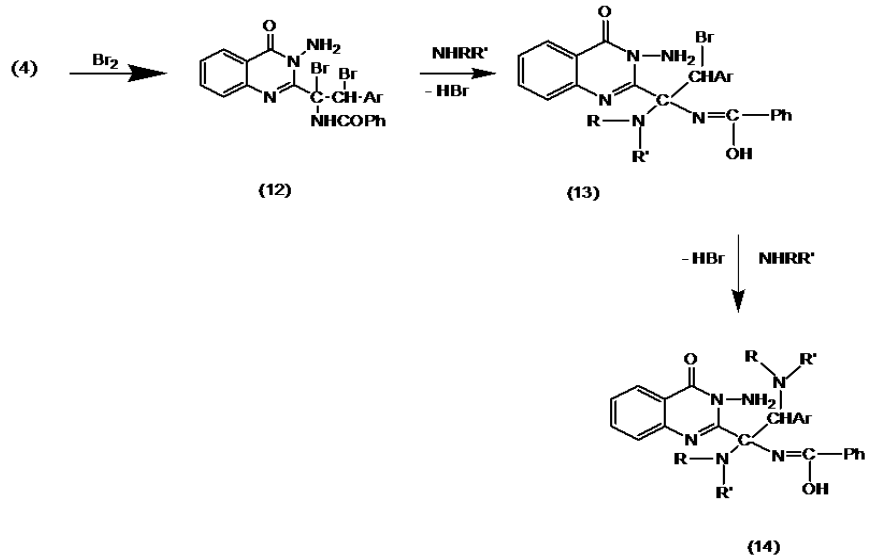
Scheme 3.

When different Grignard reagents namely phenylmagnesium bromide, methylmagnesium iodide, benzylmagnesium bromide, and naphthylmagnesium bromide reacted with the quinazolinone 4, different products were achieved according to the reagent (*c.f.* Scheme 4). Thus in the case of non-bulky reagent, a 4-substituted quinazolinol derivatives 11a,b were obtained, while with a bulky reagent, ketones 11c,d were formed[8,9]. Thus, the reaction products depend on the bulkiness of the reagent which cause a sterric hinderence at position 4. The product's structures (11c,d) were confirmed from IR showing new ketonic group γC=O at 1735 & 1750 cm.



Scheme 4.

Finally, addition of liquid bromine to 3, gave the dibromo derivative 12 which, on turn reacted with different amines namely benzylamine,4-methyl aniline,piperidine, and morpholine in molar ratios giving the monobromoamino derivatives 13 and the diamino derivatives 14 in good yield (*c.f.* Scheme 5). All the structures of the previous products were inferred from their analytical data as well as spectral data.



Scheme 5.

Results of the biological activities for antibacterial &antifungal agents.

Compound	Bacteria				Fungi			
	Gram+(*Bacillus subtitles*)		Gram-(*E-coli*)		*Aspergillus niger*		Fusarium spp	
	10 ppm	100 ppm	10 ppm	100 ppm	10 ppm	100 ppm	10 ppm	100 ppm
1	-	-	-	-	+	+	+	+
2	-	+	-	+	-	+	-	+
3	-	+	-	+	-	-	-	-
8	-	-	-	+	+	+ +	+	++
9	-	-	-	-	+	++	+	++
10e	-	-	-	+	-	++	-	+
11a	-	+	-	-	+	+	-	-
11b	-	+	-	-	-	+	-	-
13b	-	+	-	+	-	+	-	-
13c	-	-	-	-	+	++	+	++

Antimicrobial:Nizo-arm Antifungal:Penicillin .

Experimental

All melting points are uncorrected.IR spectra were recorded in on Pye-Unicam SP 1200 spectrophotometer using KBr Wafer technique. The H^1-NMR spectra were determined on Varian Gemini 200 MHz, using TMS as internal standard (chemical shifts in δ-scale). EI-MS were measured on Shimadzu-GC-MS operating at 70 eV.C^{13}-NMR spectra were measured on JOEL 75 MHz. Elemental analyses were carried out at the Micro-analytical Center at Cairo University. TLC on silica gel plates (Merk 60,F254) was used to monitor the reaction and for testing the purity of the products.

4-(1-Naphthylmethylidene)- 2-phenyloxazol-5-one (1)

A mixture of hippuric acid (0.01 mole), naphthaldehyde (0.01mole), sodium acetate (anhydrous) (0.03moles) and acetic anhydride was heated on a water bath for two hr. The reaction mixture was cooled and poured into cold water to separate (1) m.p.166-167 ℃ (60% yield) which was filtered off and crystallized from ethanol. IR (γcm^{-1}): 1770(C=O),1636 (C=N). Anal.\Cald. for C_{20} $H_{13}NO_2$, (299): C,80.3;H,4.3;N,4.7. Found: C,80.7;H,4.4;N,4.3.

2-(α-Benzoylamino-β-2-naphthylacrylamido)benzoic acid (2)

A mixture of (1) (0.01mole) and anthranilic acid (0.01mole) was refluxed in 20ml of acetic acid for 6 hr, cooled and poured into cold water. A yellow ppt. was formed, m.p.219-220℃ (75% yield) and crystallized from benzene. IR (γcm^{-1}) 3600-3200 (NH), 1710-1650 (C=O),1600-1580 (NH). Anal.\Calcd. for $C_{27}H_{20}N_2O_4$, (436):C,74.3; H,4.6; N,6.4. Found: C,74.5;H,5.0;N,6.3.

2-(α-Benzoylamino-β-2-naphthylacrylamido)-4H-3,1-benzoxazin-4-one (3)

A mixture of 2 (0.01mole) and acetic anhydride (25ml) was refluxed at 150-170 ° C using "Water Separator System" for 1 hr. The mixture was left under hood system for half an hour, a yellow solid was separated, filtered off and crystallized from pet.ether giving 3; m.p.156 °-157℃ (90%yield). IR(γcm^{-1}): 3300-3200 (NH), 1750-1710(C=O),1630-1590 (C=N), 1130, 1150 (C-O). Anal \ Cald for $C_{27}H_{18}N_2O_3$,(418):C,77.5;H,4.3;N,6.65.Found:C,77.6;H,4.1;N,6.5.

2 (Z \ E) [α-benzamido -α-(2-naphthylmethylidene) methyl] 3-amino-4-H-3,1-quinazolin-4-one (4)

A solution of (3) (0.01mole) and hydrazine hydrate(0.01mole)in 50 ml n-butanol was refluxed for 3 hr. A yellow solid was separated (80%yield), m.p.75-76 ℃ and crystallized from diethyl ether. IR(γcm^{-1}): 3600-3200 (NH), 1699-1655(C=O),1560 (C=N); H^1-NMR(DMSO d_6) δ(ppm):10.9-9(s,2H,enolic form), 9.7 (s,1H,NH exchangeable with D_2O), 8.9-7.4 (m,16H, aromatic protons), 4.1 (s,2H,NH_2 exchangeable with D_2O). Anal. \Calcd for $C_{27}H_2N_4O_2$,(432): C, 75; H, 4.6; N, 12.9. Found: C,75.3; H,5; N, 12.9.

2 (Z\E) [α- benzamido -α- (naphthylmethylidene) methyl] 3-hydroxy-4H-3,1-quinazolin -4-one (5)

A solution of (3) (0.01mole) and hydroxylamine hydrochloride (o.015mole) in 30ml ethyl alcohol was heated under reflux for 3 hr. An orange solid was formed, crystallized from benzene, (90% yield) and has m.p.165° -166℃. IR

(γcm^{-1}) : 3700-3200(NH),1689,1645(C=O),1578(C=N).Anal. \Calcd for $C_{27}H_{19}N_3O_3$, (433): C, 74.8; H,4.4; N,9.7. Found: C,74,6; H4.6; N, 9.9.

2 (Z\E) -(1-naphthyl-1-benzo[d]-imidazo [1,2-c] quinazolin-6-yl) ethen-1-yl-benzamide (6)

A mixture of (3) (0.01mole) and o-phenylenediamine (0.01mole) was fused in an oil bath at 150-160℃ for about 4 hr. The obtained brown solid was crystallized from pet. ether m.p.78-79 ℃ (85%yield). IR(γ cm^{-1}):3652-3250 (NH), 1657(C=O), 1597(C=N). H^1-NMR(DMSO d_6) δ (ppm): 10.1 (s,1H, NHexchangeable with D_2O) 8.2-7.1(m, 20 H, aromatic protons), 2.5 (s,1H,CH=C). MSm|z(%): 494$M^{+\cdot}$ (23%), 272(25%), 151(32%), 145(36%)105 (34%), 68 (79)56 (100). Anal.\ Calcd for $C_{33}H_{22}N_4O$, (490): C,80.8; H,4.5; N,11.4.Found: C, 80. 7; H, 4.7; N,11.2.

Action of hydrazine hydrate or phenyl hydrazine on (6); formation of hydrazine or phenylhydrazino derivatives of (7)

A solution of (6) (0.01mole) and hydrazine hydrate or phenylhydrazine (0.01mole) in 50ml n-butanol was refluxed for 4 hr. A solid was separated and crystallized from the proper solvent. (7 a) (40% yield), m.p.78 - 80 ℃, brown solid, crystallized from benzene.IR(γcm^{-1})3650-3200 (NH), 1662(C=N): Anal\Calcd for $C_{33}H_{24}N_6$, (486): C,78.6; H, 4.8; N,16.7. Found: C, 78.2; H,5.2; N, 16.1. (7 b) (50% yield), m.p. 85 ℃, reddish brown ppt., crystallized from benzene. IR (γcm^{-1}): 3650-3200 (NH), 1662, 1599 (C=N). H^1-NMR (DMSO d_6) δ(ppm):8.2-7(m,25H,aromatic protons), 2.6 (s,1H,CH=C).MS m|z(%):578 $M^{+\cdot}$(35%), 243(33%), 151 (42%), 65 (100%), 55(82%) Anal. \Calcd for $C_{39}H_{26}N_6$, (578): C,80.7; H, 4.8; N,14.5. Found: C,80.5; H,5; N, 14.3.

Schiff's base formation (8)

A solution of (4) (0.01mole) and hydrazine hydrate (0.01mole) in 50ml n-butanol was refluxed for 3 hr. A yellow solid was formed, crystallized from diethyl ether, m.p.86℃ (85%yield). IR(γcm): 3759-3200 (NH), 1651(C=O), 1564 (C=N). Anal. \Calcd for $C_{27}H_{22}N_6O$(424): C,72.6; H4.9; N, 18.8. Found: C,72.5; H,5.1; N,18.7.

Condensation of (8) with aldehydes; formation of Schiff's base (9)

A solution of (8) (0.01mole) and thiophene-2-carboxaldehyde in 50 ml ethanol and few drops of piperidine was refluxed for 4 hr. The solid formed was washed with water and HCl, crystallized from butanol, m.p.190 C (75%yield). IR (γcm^{-1}): 3700 – 3200 (NH), 1629 (C=O), 1588 (C=N). MS m|z (%) $M^{+\cdot}$542 (1), 445 (1.4), 247(100), 171(48), 83 (13). Anal. \Calcd fo r$C_{32}H_{24}N_6OS$ (540): C,71.1; H,4.4; N,15.5. Found: C,70.9; H, 4.6; N, 15.4.

Authentic method for the formation of (9)

A solution of (10_e) (0.01mole) and hydrazine hydrate (0.01mole) in 50 ml n-butanol was heated under reflux for 3 hr. After evaporation of the solvent, a solid was separated on cooling which was crystallized from ethanol m.p.190 ℃, 90% yield.

Condensation of (4) with different aldehydes; formation of different Schiff's bases(10a-e)

A solution of (4) (0.01mole) and different aromatic aldehydes namely 2-chloro-5-nitrobenzaldehyde, indole-3- carboxaldehyde, 2-chlorobenzaldehyde, and thiophene-2-carboxaldehyde (*c.f.* Scheme 3) in 50ml ethanol with few drops of piperidine was refluxed for 4 hr. The solid formed was washed with water and HCl and crystallized from the proper solvent.

(10a): m. p. 95˚-96℃ (85%yield), yellow solid crystallized from benzene. IR (γcm^{1}) 3500- 3200 (NH), 1720-1652 (C=O), 1600(C=N). Anal. \Calcd for $C_{34}H_{22}N_5O_4Cl$ (601.5): C, 68, H, 3.7; N11.7. Found: C, 67.8; H4; N11.5.

(10b): m.p. 223 -225℃ (90 % yield), brown solid crystallized from benzene. IR(γcm^{-1}) 3600-3200 (NH), 1715, 1650 (C=O),1589 (C=N). Anal\Calcd for $C_{36}H_{25}N_5O_2$(559): C, 77.3; H,4.5;N,12.5. Found: C,76.9; H,4.8; N,12.4.

(10c): m.p.209˚-210℃ (75 % yield), grey solid crystallized from benzene.IR (γcm^{-1}) 3600-3200 (NH),1740, 1650 (C=O),1550 (C=N). Anal.\Calcd for $C_{32}H_{23}N_5O_2$ (509): C,75.4; H,4.5;N,13.8. Found: C,75.2; H,4.6; N,13.5.

(10d): m.p. 99˚-100℃ (85% yield), greenish yellow solid crystallized from benzen. IR(γcm^{-1} 3600-3200(NH),1730,1660(C=O),1588(C=N).Anal.\Calcd for $C_{34}H_{23}N_4O_2Cl$ (556.5):C,73.6;H,4.1;N,10.1. Found: C,74;H,4.3;N,9.9.

(10e) m.p.199˚-200℃ (90%yield), brown ppt. crystallized from benzene. IR (γcm^{-1}.) 3600-3200 (NH), 1669, 1650(C=O), 1589 (C=N). MS m|z(%): $M^{+\cdot}$526(56), 256(56), 418(100), 151(75),127(45) Anal. \Calcd for $C_{32}H_{22}N_4O_{2S}$ (526): C,73; H, 4.2; N,10.6. Found: C,72.9; H, 4.3; N,10.4.

Addition of Grignard reagent to(4);formation of 4-(phenyl or methyl-2 (Z\E)[α-benzamido-α-(1-naphthylmethylidene methyl)]-3-amino 3,1 quinazolin-4-ol) (11a,b) and N-(Z\E)-[3- hydrazinyl-1- naphth-1-yl-3-[2(phenylacetyl or 1-naphthoyl) phenylimino] prop-1-ene-2-yl] benzamide(11c,d)

To a suspension of (4) (0.01mole) in dry ether, an ethereal solution of Grignard reagents (0.03 moles), namely phenylmagnesium bromide, methylmagnesium iodide, benzylmagnesium bromide, and naphthylmagnesium bromide (*c.f.* Scheme 4) were added. The reaction mixture was refluxed on a water bath for 4 hr, poured on crushed ice and HCl, a solid was separated which was crystallized from the proper solvent to give (11a-d).

(11a) m.p.88 ˚-89℃, greenish yellow solid, crystallized from ethanol,(35% yield). IR(γcm^{-1}) 3700-3200 (NH), (OH), 1659 (C=O), 1600(C=N). MS m|z(%): $M^{+\cdot}$510 (12), 151(82), 127(18), 105(19), 74(100). Anal. \Calcd for $C_{33}H_{26}N_4O_2$ (510):C, 77.6; H,5.1; N10.9. Found: C,77.4; H,5; N,11.1.

(11b) m.p.108˚-109 ℃, dark yellow solid, crystallized from ethanol ,(60% yield). IR (γcm^{-1}) 3700-3200(NH), (OH), 1675(C=O), 1600 (C=N)). H^1-NMR (DMSO -d_6) δ(ppm)10(s,1H,OH),9.9 (S,1H,NH exchangeable with D_2O), 8.9-7.4 (m,16H, aromatic protons), 4.2 (s,2H,NH_2 exchangeable with D_2O),2.5 (s,1H,CH=), 1.7 (s,3H,CH_3)MS m|z (%) $M^{+\cdot}$ 448(2), 374(2), 176(20), 127(16) (Anal. \Calcd for $C_{28}H_{24}N_4O_2$(448): C,75; H,5.4; N,12.5. Found: C,74.9; H,5.9; N,12.3.

(11c) m.p.59˚-62℃, reddish brown solid, crystallized from ethanol, (40% yield). IR (γcm^{-1}) 3600-3200 (NH), 1735, 1672(C=O), 1587(C=N)H^1-NMR (DMSO d_6) δ (ppm)10 (s,2H), 9.74,9.72 (s,2H,2NH exchangeable with D_2O), 7.9-7.4 (m, 21H, aromatic protons) 4.3 (s,2H,NH_2 exchangeable with D_2O), 2.5 (2,1H,CH=C), 1.8 (s,2H, C H_2). Anal\Calcd for $C_{34}H_{28}N_4O_2$(524): C,77.8; H,5.3;N,10.7. Found: C,77.7;H,5.5;N,10.5.

(11d) m.p.97˚-98℃, brown solid, crystallized from ethanol, (50% yield). Anal.\ Calcd for $C_{37}H_{28}N_4O_2$(560): C,79.3; H,5; N,10. Found: C,79.2; H,5.2; N,9.9.

Addition of bromine to (4);formation of the dibromoquinazolin-4-one derivative (12)

To a solution of (4) (0.01mole) in 30ml chloroform, liquid bromine (30ml) was added dropwise over a period of 2 hr. A reddish brown solid was separated, filtered off, crystallized from benzene, m.p.64 ˚-66 ℃ (80% yield) . IR(γcm^{-1}) 3750-3200 (NH), 1715, 1680 (C=O), 1600(C=N), 530(C-Br). Anal.\Calcd for $C_{27}H_{20}N_4O_2Br_2$(592): C,54.7; H,3.4; N,9.5. Found: C,54.9; H,3.7; N,9.4.

Action of amines on the dibromide(12);formation of monoaminosubstituted derivatives of (4), (13a-d)

To a mixture of (0.01mole) of (12) in 30ml ethanol, the amines namely benzylamine,4-methyl aniline, piperidine, and morpholine (*c.f.* Scheme 5) were added. The reaction mixture was refluxed for 3 hr. A solid was separated and crystallized from the proper solvent giving (13a-d). (13a) m.p.108˚-109℃, grey ppt. crystallized from pet . ether (66% yield)). IR (γcm^{-1}) 3650-3200 (NH), 1665(C=O), 1591(C=N). Anal \ Calcd for $C_{34}H_{28}N_5O_2Br$ (618): C,66; H, 4.5; N,11.3. Found:C, 66.1; H,4.7; N,11.2. (13b) m.p.79˚-81℃, brown ppt. crystallized from pet. ether (71% yield).). IR (γcm^{-1})3675-3200(NH), 1667(C=O), 1602 (C=N). Anal\Calcd. for $C_{34}H_{28}N_5O_2Br$ (618): C, 66; H,4.5; N,11.3. Found: C, 66.1; H, 4.7; N,11.2. (13c) m.p.69˚-70℃, dark grey ppt. crystallized from pet . ether 69 (% yield). IR (γcm^{-1}) 3675-3195) (NH), 1670(C=O), 1597(C=N) H^1-NMR (DMSO- d_6) δppm) 10.2, 10.1(s,2H), 9.7 (s,H,NH exchangeable with D_2O), 8.3-6.3 (m,16H, aromatic protons), 4.4(s,2H, NH_2 exchangeable with D_2O), 2.2(s,1H,CH),1.6-0.98 (t,4H, $2CH_2$ m,6H,3), Anal\Calcd for $C_{32}H_{30}N_5O_2Br$ (596): C,64.4; H,5; N,11.7. Found: C,64.8; H,5.2; N,11.9. (13d) m.p.102˚-105℃ dark green ppt. crystallized from pet. Ether (57% yield). IR (γcm^{-1}) 3700-3250 (C=N), 1669 (C=O), 1598(C=N). Anal. \ calcd for $C_{31}H_{28}N_5O_3Br$ (578): C,62.2; H,4.7; N,11.7. Found: C,62.5; H,4.9; N,11.8.

Action of amines on (13a-d); formation of diamino derivatives of (4):(14a-d)

To a mixture of (0.01mole) of (13a-d) in 30ml ethanol, the amines namely benzylamine, 4-methyl aniline,piperidine, and morpholine (*c.f.* Scheme 5) were added. The reaction mixture was refluxed for 6 hr. A solid was separated and crystallized from the proper solvent giving (14a-d). (14a) m.p.89˚-91℃, brown ppt. , crystallized from pet . Ether (60% yield) IR (γcm^{-1}) 3650-3250 (NH), 1656 (C=O), 1602(C=N). Anal. \Calcd for $C_{41}H_{36}N_6O_2$ (644):C,76.4; H,5.6; N,13. Found: C,76.1; H,5.8; N,12.8. (14b) m.p. 75˚-77℃, brown ppt., crystallized from pet. Ether (63% yield). IR (γcm^{-1}) 3672-3200(NH), 1674(C=O), 1603(C=N). Anal. \Calcd for $C_{41}H_{36}N_6O_2$(644): C,76.4;H,5.6;N,13. Found: C,76.2; H,5.8; N,13.1 (14c) m.p.140 ˚-142 ℃, dark green ppt., crystallised from pet .ether (55% yield).). IR(γcm^{-1})3750-3200(NH), 1668(C=O), 1596(C=N). MS m|z(%) 600 (15), 352(17), 315(21), 195(25), 160(26), 105(87), 83(100). Anal.\Calcd for $C_{37}H_{40}N_6O_2$(600): C,74; H,6.7; N,14. Found C, 74.2; H,6.9; N,13.9. (14d) m.p.118 ˚-119 ℃, dark grey ppt., crystallised from pet .ether). I.R. (γcm^{-1}) 3652-3250 (NH), 1668 (C=O),1597(C=N). Anal.\Calcdfor $C_{35}H_{36}N_6O_4$ (604): C,69.5; H,5.9; N,13.9. Found: C,69.4;H,6.1;N,13.5.

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تخليق بعض المركبات الغير متجانسة من البنزوكزازينون والكيناكزوزالينون الجديدة

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تم تحضير وتفاعل البنزواوكزازينون (3) مع الهيدرازين هيدرات، هيدروكسيل امين هيد روكلوريد و أورثو فينيلين داي أمين للحصول على ألكينازولينون (4،5) وألبنزا ايميدازول (6،7) و قد تم أيضا تفاعل المركب (4) مع بعض الالدهيدات الأروماتيه ليعطى قواعد شيف المقابلة (9،10) لها وايضا مع كواشف جرينارد ليعطى الكحولات والكيتونات (11) .

واخيرا تم إضافة البروم ليعطى ثنائى بروموالكينازولين (12) الذى تفاعل مع أمينات مختلفة ليعطى آحادى وثنائى المشتقات الامينوكينازولينيه (13،14) . تم اختيار بعض البنزواوكزازينون و ألكينازولينون ضد البكتريا والطحالب وأعطوا نتائج ايجابية .