



Synthesis, Characterization and Evolution of Some Di Bromo Quinazolinone Compounds

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Abstract: -

Heterocyclic compounds have diverse biological properties due to which they are intensively studied and researched. Compounds. One of these compounds is quinazolinone which has been found to exhibit various medicinal properties. Activities. Quinazolinone with heterocyclic nucleus is a novel molecule that attracts chemists to make a new discovery. Therapeutic molecule. The current review article contains various quinazolinone and their various derivatives Substitution with antimicrobial activities.

Introduction: -

Heterocyclic chemistry is a chemical consisting of heterocyclic compounds that contain at least two atoms. Various elements such as ring number. The rhombus can be inorganic, although the compound contains carbon atoms. The term ring, hetero, is different from carbon and hydrogen. Nitrogen containing heterocyclic compounds Plays an important role in medicinal chemistry. Quinazolinone consists of two fused benzene and pyrimidinone rings. Quinazolinones are a large class of active chemical compounds exhibiting a broad spectrum of biological activities In animals as well as humans. Literature studies on quinazolinones have shown that these derivatives have a. Many biological activities like antioxidant [1], antifungal [2], antibacterial [3], anticonvulsant [4] antiinflammatory [5], antihyperlipidemic [4], anticancer [1], antimalarial [ar], antispasmodial [4] analgesic [10] Antiviral [11], antitubercular [12] and antimicrobial [13] activities. Quinazolinones fuses are heterocycle classes that are of great interest due to the diverse range of Their biological properties. Quinazolinones will be classified into the following five categories, based on Replacement pattern of ring system; They are 2-Substituted -4 [3H] -Squinazolinone, 3-Substituted -4 [[3H] - Quinazoline, 4-substituted-quinazoline, 2,3-disubstituted-4 [3H] -quinazoline and 2,4-disubstituted-4 [3H] quinazolinones. Based on the position of the keto group, these compounds can be classified into three types. They are 2 [1H] quinazolinone, 4 [3H] quinazolinone and 2, 4 [1H, 3H] quinazolidione. Quinazolinone is one of the most important rhombic compounds, weak base with different biological activities. And still great scientific interest still a day. They are widely found in bio-inorganic and medicinal chemistry

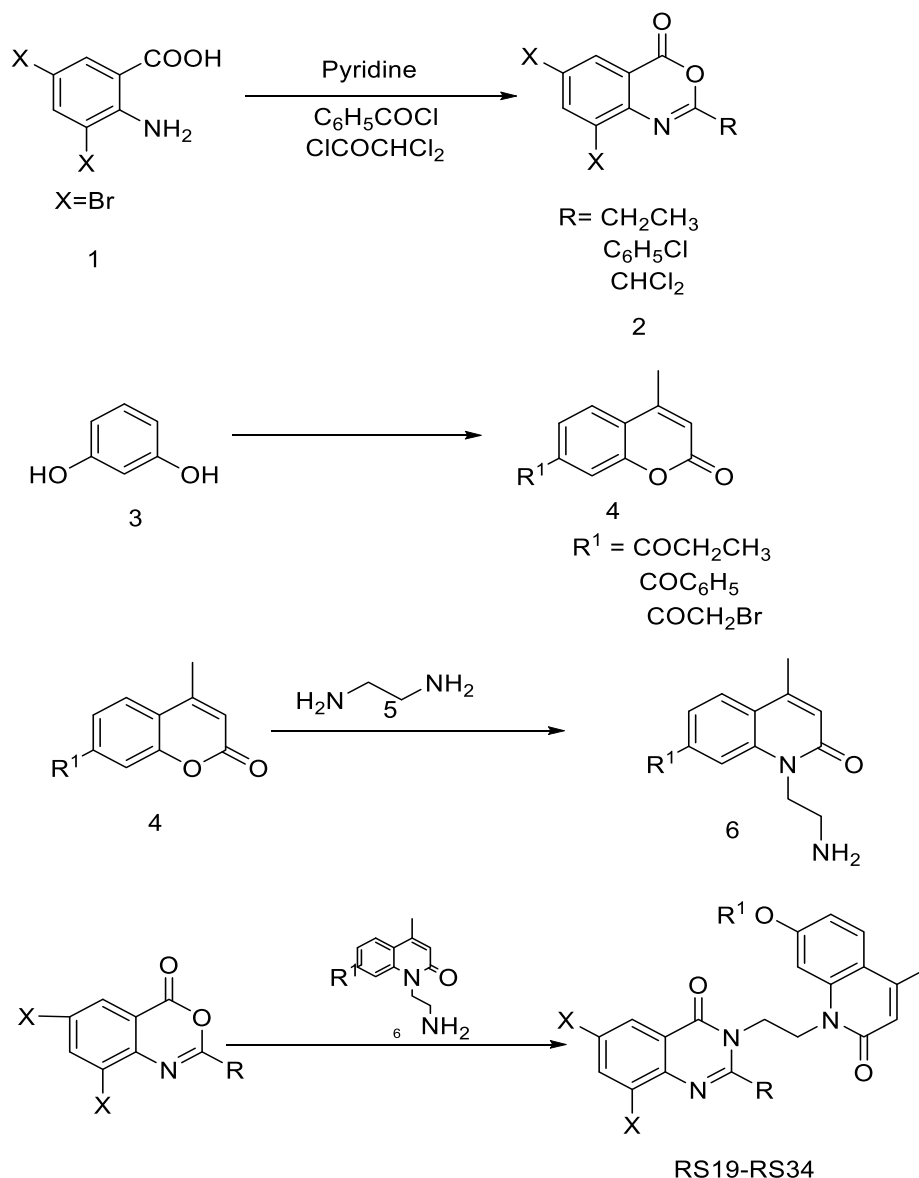


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Application in drug discovery. This review was focused on quinazolinones and its various derivatives Occupies antimicrobial activities.

Present work:

From the literature survey it is evident that 7-substituted oxyquinolone attached quinazolinones exhibit promising type of antioxidant, anti-inflammatory, H₁ antihistaminic and antitumor activity. In the present investigation it has been envisaged to introduce CH₃CO/ C₆H₅CO/ ClCH₂CO in 7th position of quinolone moiety and CH₃ at 2nd position of 4(3H) quinazolinones and to evaluate the resulting molecules for possible antioxidant, anti-inflammatory, H₁-antihistaminic and antitumor activities. Hence the synthesis of 6, 8-dibromo/ -3-(2-(7-acetyl/ benzoyl/ chloroacetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl) ethyl)-2-methyl quinazolin-4(3H)-ones are taken up. Synthesis of the title compounds are shown in **scheme 2A** by adopting simple synthetic procedures. Six appropriate 6,8-dibromo/ -3-(2-(7-acetyl/benzoyl/ chloroacetyl oxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-methylquinazolin-4(3H)-ones (**RS19, RS22,RS25, X=H**) (**RS28, RS31, RS34, X=Br**) were synthesized from dibromo/ anthranilic acids by a known procedure reported from this laboratory. The details of the synthesis are drawn in scheme **4.1.2 and 4.1.3 (scheme 2A)**. The IR, PMR and Mass spectrums are shown in **4.1.4 (Figure 4.1 and 4.2)**. The compounds profile of **RS19, RS22, RS25, RS28, RS31, and RS34**

**General scheme of 3-(2-(7-subst. oxy-4-methyl-2-oxoquinolin-1-(2H)-yl) ethyl) 6, 8-dibromo/unsubs.-2-subst. quinazolin-4(3H)-one****Experimental****General procedure for the synthesis of 7-hydroxy-4-methyl-2H-chromen-2-one (2A-II, step1):**

A solution of resorcinol (0.1 mol) and ethyl acetoacetate (0.1 mol) was mixed with 160 g of polyphosphoric acid. The reaction mixture was stirred and heated at 75-80 °C for 20 min and

then poured into ice-water. The resultant pale yellow solid mixture was collected by suction filtration, washed with a little cold water and dried at 60°C. Recrystallisation from dilute ethanol yields pure and colorless compound.

[X=H, R= CH₃] Yield 76%; MP 187 °C; IR (KBr) cm⁻¹:3350(Ar-OH), 3052(Ar), 1643(C=O); Anal. Calc'd for C₁₀H₈O₃: C, 68.18; H, 4.58; O, 27.25. Found: C, 66.08; H, 4.63; O, 27.30.

General procedure for the synthesis of 7-acetyloxy / benzyloxy /chloroacetyloxy-4-methyl-2H-chromen-2-one (2A-II, step 2):

4-Methyl-7-hydroxycoumarin (0.1 mol) in acetic anhydride (0.12 mol) and a few drops of pyridine / benzoyl chloride (0.12 mol) in absolute ethanol (10 mL)/ chloroacetylchloride (0.12 mol) in absolute ethanol (10 mL) was refluxed for 2 h, and then poured into ice-water. The resultant product was collected by suction filtration, washed with a little cold water and dried at 60°C and recrystallised from absolute ethanol.

[R= COCH₃] Yield 76%; M.P. 189 °C; IR (KBr) cm⁻¹:3050(Ar), 1645(C=O), 1510 (Lactone); Anal. Calc'd for C₁₂H₁₀O₂ : C, 66.05; H, 4.62; O, 29.33. Found: C, 66.05; H, 4.57; O, 29.28.

General procedure for the synthesis of 1-(2-aminoethyl)-7-substituted oxy-4-methylquinolin-2(1H)-one (2A-III):

Equivalent moles of 7-acetyl/ benzoyl / chloroacetyl oxy-4-methyl-2H-chromen-2-ones (0.1mol) with diethyl amine (0.1 mol) in glacial acetic acid was refluxed for 6 h. The excess solvent was then distilled off under reduced pressure and poured into crushed ice (200 g) to get the solid. The product so obtained was filtered under suction and dried at room temperature. It was purified by recrystallization from absolute ethanol.

[R= COCH₃] Yield 79%; M.P. 179°C; IR (KBr) cm⁻¹: 3410(Ar-NH₂), 3054 (Ar) 1652 (C=O); Anal. Calc'd for C₁₄H₁₆N₂O₃: C, 64.60; H, 6.20; N, 10.76; O, 18.44. Found: C, 64.50; H, 6.22; N, 10.74 ; O, 18.46.

General procedure for the synthesis of 3-(2-(7-subst. oxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-methyl-6,8-dibromo/unsubs. quinazolin-4(3H)-one (2A-IV, RS19):

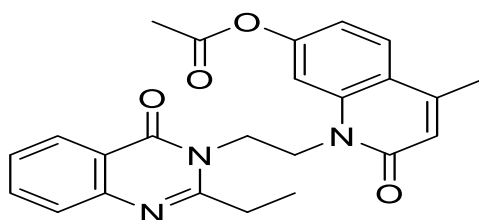
The appropriate 1-(2-aminoethyl)-7-substituted oxy-4-methylquinolin-2(1H)-one (0.1 mol) and 2-methyl-4H-benzo[d][1,3]oxazin-4-one (0.1 mol) were taken in glacial acetic acid (40 mL) and refluxed for 8 h. The course of the reaction was monitored every hour with the help of TLC. The excess solvent was then distilled off under reduced pressure and poured into crushed ice to get the solid. The final compounds were filtered, dried and purified by recrystallization from absolute ethanol.

The IR spectrum (KBr) of the compound had shown strong characteristic absorption bands (in cm^{-1}) at 3401 (NH_2), 3021(Ar) 1657 ($\text{C}=\text{O}$), 1597.6 ($\text{C}=\text{N}$). Its PMR spectrum showed strong signals at δ ppm [RS19 X=H, R=CH₃, R'=H] Yield 69 %; M.P. 315°C; IR (KBr) cm^{-1} : 3345 (Ar-NH), 2919(Ar), 1676($\text{C}=\text{O}$), 1524 (CH); ¹H NMR (CDCl_3): δ 0.9, 1.4, 2.2 (s, 3H, CH₃), 3.3, 3.5 (t, 2H, CH₂), 7-7.8 (m, 4H, Ar) 7.21-7.9 (m, 15H, heterocyc); MS(m/z): 403; Anal. Calc'd for C₂₃H₂₁N₃O₄: C, 68.47; H, 5.25; N, 10.42; O, 15.86 Found: C, 68.44; H, 5.28; N, 10.42; O, 15.82.

[RS28 X=Br, R=CH₃, R'=H] Yield 69%; M.P 245 °C; IR (KBr) cm^{-1} : 3127(Ar), 1677($\text{C}=\text{O}$), 1524 (CH); ¹H NMR (CDCl_3): δ 0.8, 1.3, 2.2 (s, 3H, CH₃), 3.3, 3.5 (t, 2H, CH₂), 7-7.8(m, 4H, Ar), 6.8-7.4(m, 4H, Ar), 7.8, 8.1 (m, 2H, Br-Ar); MS(m/z): 561; Anal. Calc'd for C₂₃H₁₉Br₂N₃O₄: C, 49.22; H, 3.41; Br, 28.47; N, 7.49; O, 11.40. Found: C, 49.20; H, 3.43; Br, 28.50; N, 7.48; O, 11.38.

Compounds profile:

3-(2-(7-Acetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-ethylquinazolin-4(3H)-one (RS19)

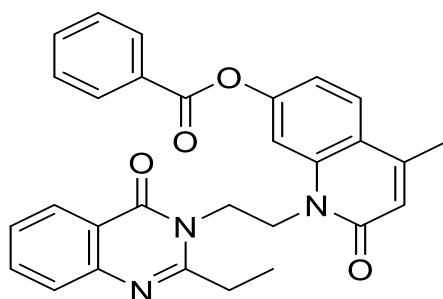




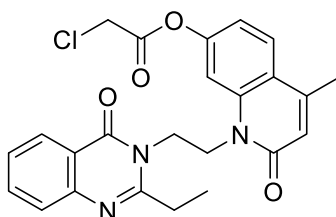
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M.W. 403; M.F. $C_{23}H_{21}N_3O_4$; Yield 69 % (3.7 g) ; M.P. 315 °C; IR (KBr) cm^{-1} : 3345 (Ar-NH), 2919(Ar), 1676(C=O), 1524 (CH); 1H NMR ($CDCl_3$): δ 0.9, 1.4, 2.2 (s, 3H, CH_3), 3.3, 3.5 (t, 2H, CH_2), 7-7.8 (m, 4H, Ar) 7.21-7.9 (m, 15H, heterocyc); MS(m/z): 403; Anal. Calc'd for $C_{23}H_{21}N_3O_4$: C, 68.47; H, 5.25; N, 10.42; O, 15.86. Found: C, 68.44; H, 5.28; N, 10.42; O, 15.82.

3-(2-(7-Benzoyloxy-4-methyl-2-oxoquinolin-1(2H)-yl) ethyl)-2-ethylquinazolin-4(3H)-one (RS22)

M.W. 465.17; M.F. $C_{28}H_{23}N_3O_4$; Yield 67 % (3.23 g) ; M.P. 265 °C; R_f 0.47; IR (KBr) cm^{-1} : 3344 (Ar-NH), 2912(Ar), 1671(C=O), 1520 (CH); Anal. Calc'd for $C_{28}H_{23}N_3O_4$: C, 72.24; H, 4.98; N, 9.03; O, 13.75. Found: C, 72.34; H, 4.88; N, 9.13; O, 13.65.

3-(2-(7-Chloroacetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-ethyl quinazolin-4(3H)-one (RS 25)

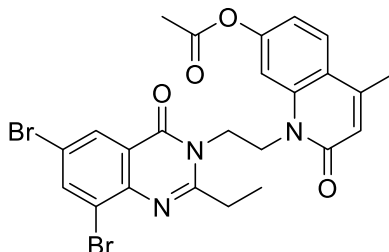
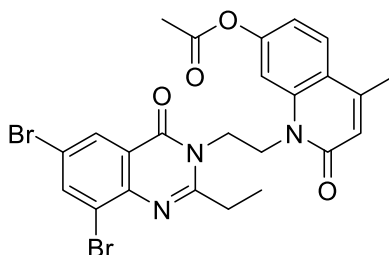
M.W. 437.88; M.F. $C_{23}H_{20}ClN_3O_4$; Yield 67% (3.45 g); M.P 246 °C; R_f 0.49(CH_3Cl); IR (KBr) cm^{-1} : 3034 (Hetero), 2919 (Ar), 1676(C=O), 1524 (CH); Anal. Calc'd for $C_{23}H_{20}ClN_3O_4$: C, 63.09; H, 4.60; Cl, 8.10; N, 9.60; O, 14.62. Found: C, 63.10; H, 4.59; Cl, 8.11; N, 9.59; O, 14.62.



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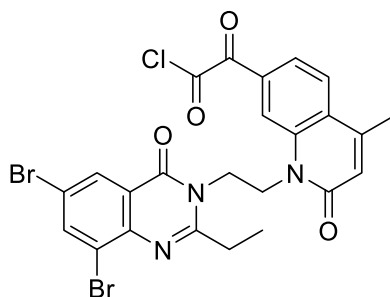
6, 8-Dibromo-3-(2-(7-acetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-ethyl quinazolin-4(3H)-one (RS 28)M.W. 561.22; M.F. $C_{23}H_{19}Br_2N_3O_4$; Yield 69% (12.8 g); M.P. 247 °C; R_f 0.48; IR(KBr) cm^{-1} : 3127 (Ar), 1677 (C=O), 1524 (CH); 1H NMR (CDCl₃): δ 0.8, 1.3, 2.2 (s, 3H,CH₃), 3.3, 3.5 (t, 2H, CH₂), 7-7.8 (m, 4H, Ar), 6.8-7.4 (m, 4H, Ar), 7.8, 8.1 (m, 2H, Br-Ar); MS(m/z): 561; Anal. Calc'd for $C_{23}H_{19}Br_2N_3O_4$: C, 49.22; H, 3.41; Br, 28.47; N, 7.49; O, 11.40. Found: C, 49.20; H, 3.43; Br, 28.50; N, 7.48; O, 11.38.**6,8-Dibromo-3-(2-(7-acetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-ethyl quinazolin-4(3H)-one (RS 31)**M.W. 623.29; M.F. $C_{28}H_{21}Br_2N_3O_4$; Yield 68% (11.3 g); M.P. 258 °C; R_f 0.49 (CH₃Cl); IR (KBr) cm^{-1} : 3123(Ar), 1670(C=O), 1521 (CH); Anal. Calc'd for $C_{28}H_{21}Br_2N_3O_4$: C, 53.96; H, 3.40; Br, 25.64; N, 6.74; O, 10.27. Found: C, 53.98; H, 3.38; Br, 25.66; N, 6.72; O, 10.27.**6,8-Dibromo-3-(2-(7-oxychloroacetyl-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-ethyl quinazolin-4(3H)-one (RS 34)**



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M.W. 595.67; M.F. $C_{23}H_{18}Br_2ClN_3O_4$; Yield 68% (11.8g); M.P. 274 °C; R_f 0.49(CH₃Cl); IR (KBr) cm^{-1} : 3123(Ar), 1670(C=O), 1521 (CH); Anal. Calc'd for $C_{28}H_{21}Br_2N_3O_4$ C, 46.38; H, 3.05; Br, 26.83; Cl, 5.95; N, 7.05; O, 10.74. Found: C, 46.40; H, 3.07; Br, 26.85; Cl, 5.93; N, 7.06; O, 10.73.

General procedure for the synthesis of 3-(2-(7-subst. oxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-phenyl-6,8-dibromo/unsubs.quinazolin-4(3H)-one (2B-IV) ¹³⁰:

The appropriate 1-(2-aminoethyl)-7-substituted oxy-4-methylquinolin-2(1H)-one (0.1 mol) and 2-phenyl-4H-benzo[d][1,3]oxazin-4-one (0.1 mol) were taken in glacial acetic acid (40 mL) and was refluxed for 8 h. The course of the reaction was monitored every hour with the help of TLC. The excess solvent was then distilled off under reduced pressure and poured into crushed ice to get the solid. The resultant compounds were filtered, dried and purified by recrystallization from absolute ethanol.

[RS 20 X=H, R= C₆H₅, R'=H] Yield 69 %; M.P. 294 °C: IR (KBr) cm^{-1} : 3495 (Ar-NH), 2927(Ar), 1669(C=O), 1452 (CH); ¹H NMR (CDCl₃): δ 0.9, 2.2 (s, 3H, CH₃), 3.3, 3.5 (t, 2H, CH₂), 6.8-7.5 (m, 4H, Ar) 7.21-7.9 (m, 15H, heterocyc); MS(m/z): 465; Anal. Calc'd for $C_{28}H_{23}N_3O_4$: C, 72.24; H, 4.98; N, 19.03; O, 13.75. Found: C, 72.26; H, 4.96; N, 19.06; O, 13.72.

[RS 29 X=Br, R= C₆H₅, R'=H] Yield 69%; M.P 245 °C; IR (KBr) cm^{-1} : 3494 (Ar-NH₂), 1677 (C=O), 1524 (CH); ¹H NMR (CDCl₃): δ 0.9, 1.3, 2.1 (s, 3H, CH₃), 3.3, 3.5 (t, 2H, CH₂), 6.8-



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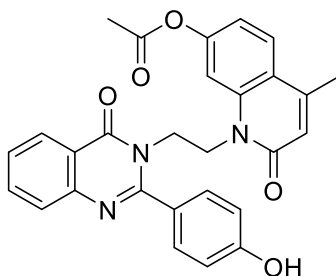
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7.00 (m,4H,Ar), 7.3-7.8(m, 4H,Ar); MS(m/z): 623; Anal. Calc'd for $C_{28}H_{21}Br_2N_3O_4$: Cal: C, 53.96; H, 3.40; Br, 25.64; N, 6.74; O, 10.27. Found: C, 53.93; H, 3.43; Br, 25.64; N, 6.72; O, 10.29.

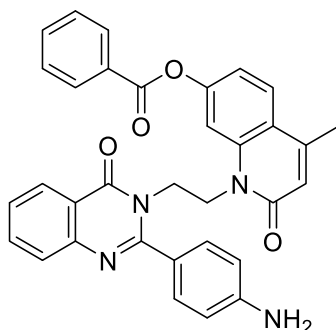
Compounds profile:

3-(2-(7-Acetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl) ethyl)-2-hydroxyphenylquinazolin-4(3H) -one (RS20)



M.W. 465; M.F. $C_{28}H_{23}N_3O_4$; Yield 69% (3.85 g); M.P. 294⁰C; R_f 0.52 (CH₃Cl) ; IR (KBr) cm⁻¹: 3345 (Ar-NH), 2919 (Ar), 1676(C=O), 1524 (CH); ¹H NMR (CDCl₃): δ 1.4, 2.2, (s,3H, CH₃)3.3, 3.5(t, 2H, CH₂),7-7.8 (m,4H,Ar),6.8- 7.4(m, 4H, Ar). MS (m/z): 465; Anal. Calc'd for $C_{28}H_{23}N_3O_4$: C, 72.24; H, 4.98; N, 19.03; O, 13.75. Found: C, 72.26; H, 4.96; N, 19.06; O, 13.72.

3-(2-(7-Benzoyloxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-amino phenylquinazolin-4(3H)-one (RS 23)

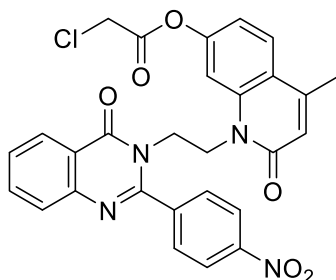


M.W. 527; M.F. $C_{33}H_{25}N_3O_4$. Yield 72% (3.7 g); M.P 246 ⁰C; R_f 0.50; IR (KBr) cm⁻¹: 3030 (Ar), 1672 (C=O), 1521 (CH). Anal. Calc'd for $C_{33}H_{25}N_3O_4$: C, 75.13; H, 4.78; N, 7.96; O,



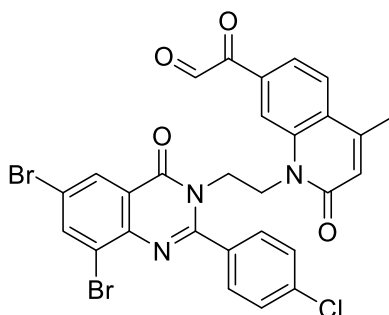
12.13. Found: C, 75.23; H, 4.88; N, 7.93; O, 12.16.

3-(2-(7-Chloroacetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-nitro phenylquinazolin-4(3H)-one (RS 26)



M.W. 499; M.F. $C_{28}H_{22}ClN_3O_4$; Yield 68% (3.06 g); M.P. 248 °C; R_f 0.51; IR (KBr) cm^{-1} : 3031(Hetero), 2911(Ar), 1672(C=O), 1521 (CH); Anal. Calc'd for $C_{28}H_{22}ClN_3O_4$: C, 67.27; H, 4.44; Cl, 7.09; N, 8.40; O, 12.80. Found: C, 67.37; H, 4.34; Cl, 7.09; N, 8.30; O, 12.90.

6,8-Dibromo-3-(2-(7-oxyacetyl-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-Chloro phenyl quinazolin-4(3H)-one (RS29)



M.W. 623; M.F. $C_{28}H_{21}Br_2N_3O_4$; Yield 69% (11.5 g); M.P 245 °C; IR (KBr) cm^{-1} : 3494(Ar-NH₂), 1677 (C=O), 1524 (CH); ¹H NMR (CDCl₃): δ 0.9, 1.3, 2.1 (s, 3H, CH₃), 3.3, 3.5 (t, 2H, CH₂), 6.8-7.00(m, 4H, Ar), 7.3-7.8(m, 4H, Ar); MS(m/z): 623; Anal. Calc'd for $C_{28}H_{21}Br_2N_3O_4$: Cal: C, 53.96; H, 3.40; Br, 25.64; N, 6.74; O, 10.27 Found: C, 53.93; H, 3.43; Br, 25.64; N, 6.72; O, 10.29.

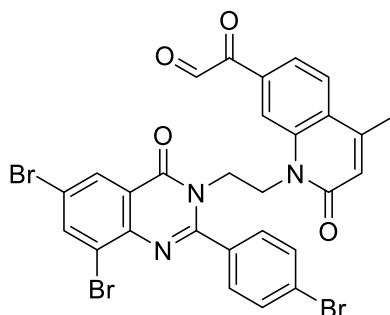
6,8-Dibromo-3-(2-(7-oxyacetyl-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-Bromo phenyl quinazolin-4(3H)-one (RS32)



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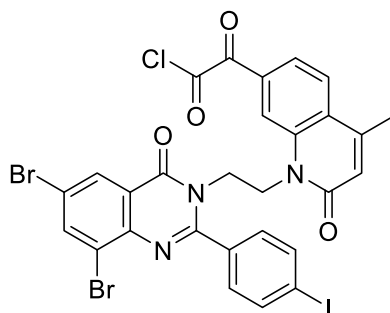
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M.W. 685; M.F. $C_{33}H_{23}Br_2N_3O_4$; Yield 74% (11.2 g); M.P $267^\circ C$; R_f 0.51(CH₃Cl); IR (KBr) cm^{-1} : 3121(Ar), 1672(C=O), 1524 (CH). Anal. Calc'd for $C_{28}H_{22}ClN_3O_4$: C, 57.83; H, 3.38; Br, 23.32; N, 6.13; O, 9.34. Found: C, 57.81; H, 3.40; Br, 23.34; N, 6.11; O, 9.34.

6,8-Dibromo-3-(2-(7-oxochloroacetyl-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-Iodo phenyl quinazolin-4(3H)-one (RS35)



M.W. 657; M.F. $C_{28}H_{20}Br_2ClN_3O_4$; Yield 79% (12.5 g); M.P $235^\circ C$; R_f 0.47(CH+Cl); IR (KBr) cm^{-1} : 3121(Ar), 1671(C=O), 1522 (CH). Anal. Calc'd for $C_{28}H_{22}ClN_3O_4$: C, 51.13; H, 3.06; Br, 24.30; Cl, 5.39; N, 6.39; O, 9.73. Found: C, 51.15; H, 3.04; Br, 24.33; Cl, 5.36; N, 6.36; O, 9.76.

General procedure for the synthesis of 3-(2-(7-subst.oxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-methylchloro-6,8-dihaloquinazolin-4(3H)-one

The appropriate 1-(2-aminoethyl)-7-substituted oxy-4-methylquinolin-2(1H)-one (0.1 mol) and 2-methylchloro-4H- benzo[d][1,3]oxacin-4-one(0.1 mol) were taken in glacial acetic acid (40 mL) and refluxed for 8 h. The course of the reaction was monitored every hour with the help of TLC. The excess solvent was then distilled off under reduced pressure



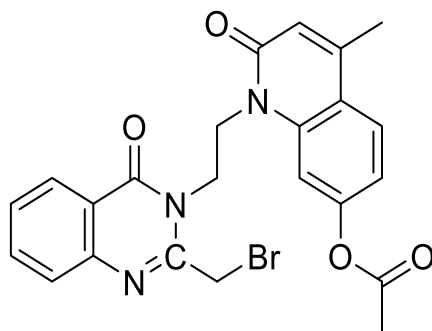
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and poured into crushed ice to get the solid. The final compounds were filtered, dried and purified by recrystallization from absolute ethanol.

[RS 21 $X=H$, $R=CH_2Cl$, $R'=H$] Yield 67 %; M.P 278 °C; IR (KBr) cm^{-1} : 3441 (Ar-NH), 2925(Ar), 1671(C=O),1529 (CH); 1H NMR ($CDCl_3$): δ 0.9,2.2, 2.4 (s, 3H, CH_3), 3.3 ,3.5 (t, 2H, CH_2), 6.8-7.00 (m, 4H,Ar) 7.3-7.8 (m, 8H, heterocyc); MS(m/z): 437; Anal. Calc'd for $C_{23}H_{20}ClN_3O_4$ Cal: C, 63.09; H, 4.60; Cl, 8.10; N, 9.60; O, 14.62 Found:C, 63.07; , 4.62; Cl, 8.06; N, 9.620; O, 14.64 .

[RS 30 $X=Br$, $R=CH_2Cl$, $R'=H$] Yield 69%; M.P 245 °C; IR (KBr) cm^{-1} : 3493(Ar-NH₂), 2925(Ar),1683(C=O),1537 (CH); 1H NMR ($CDCl_3$): δ 0.9, 2.3, 2.4 (s, 3H, CH_3), 3.3 ,3.5 (t, 2H, CH_2), 6.8-7.00(m, 4H, Ar), 7.3-8.1(m, 4H,Ar); MS(m/z): 595; Anal. Calc'd for $C_{23}H_{18}Br_2ClN_3O_4$: Cal: C, 46.38; H, 3.05; Br, 26.83; Cl, 5.95; N, 7.05; O, 10.74 Found: C, 46.40; H, 3.07; Br, 26.85; Cl, 5.93; N, 7.05; O, 10.74.

3-(2-(7-Acetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-ethyl 4(3H)-one (RS21) chloroquinazolin-

M.W. 437; M.F $C_{23}H_{20}ClN_3O_4$; Yield 67% (3.45 g) ; M.P. 278 °C; R_f 0.51 (CH_3Cl); IR (KBr) cm^{-1} : 3441 (Ar-NH), 2925(Ar), 1671(C=O),1529 (CH); 1H NMR ($CDCl_3$): δ 0.9,2.2, 2.4 (s, 3H, CH_3), 3.3 ,3.5 (t, 2H, CH_2), 6.8-7.00 (m, 4H,Ar) 7.3-7.8 (m, 8H, heterocyc); MS(m/z): 437; Anal. Calc'd for $C_{23}H_{20}ClN_3O_4$ Cal:C, 63.09; H, 4.60; Cl, 8.10; N, 9.60; O, 14.62. Found:C, 63.07; , 4.62; Cl, 8.06; N, 9.620; O, 14.64 .

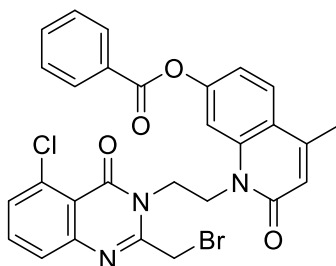


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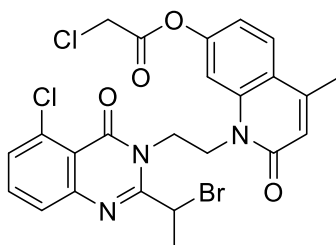
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3-(2-(7-Benzoyloxy-4-methyl-2-oxoquinolin-1(2H)-yl) ethyl)-2-methylchloro quina-zolin-4(3H)-one (RS24)



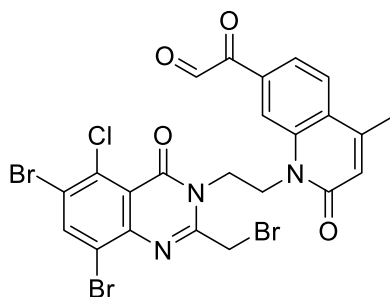
M.W. 499; M.F. $C_{28}H_{22}ClN_3O_4$; Yield 72% (3.25 g); M.P. 278 °C; R_f 0.52 (CH_3Cl); IR (KBr) cm^{-1} : 3031(Ar), 1671(C=O), 1520 (CH); Anal. Calc'd for $C_{28}H_{22}ClN_3O_4$: C, 67.27; H, 4.44; Cl, 7.09; N, 8.40; O, 12.80. Found C, 67.25; H, 4.46; Cl, 7.08; N, 8.41; O, 12.80.

3-(2-(7-Chloro acetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl) ethyl)-2-methylchloro quinazolin-4(3H)-one (RS27)



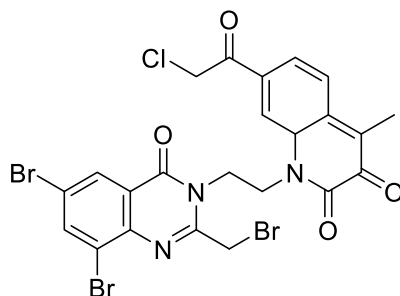
M.W. 472; M.F. $C_{23}H_{19}Cl_2N_3O_4$. Yield 77% (3.66 g); M.P. 249 °C; R_f 0.52(CH_3Cl); IR (KBr) cm^{-1} : 3036 (Hetero), 2912 (Ar), 1673 (C=O), 1526 (CH); Anal. Calc'd for $C_{23}H_{19}Cl_2N_3O_4$: C, 58.49; H, 4.05; Cl, 15.01; N, 8.90; O, 13.55. Found C, 58.59; H, 3.95; Cl, 15.01; N, 8.80; O, 13.65.

6,8-Dibromo-3-(2-(7-oxyacetyl-4-methyl-2-oxoquinolin-1(2H)-yl)ethyl)-2-methyl chloro quinazolin-4(3H)-one (RS30)



M.W. 595; M.F. $C_{23}H_{18}Br_2ClN_3O_4$; Yield 69% (12 g); M.P. $245\text{ }^{\circ}C$; IR (KBr) cm^{-1} : 3493(Ar-NH₂), 2925 (Ar), 1683 (C=O), 1537 (CH); ¹H NMR (CDCl₃): δ 0.9, 2.3, 2.4 (s, 3H, CH₃), 3.3, 3.5 (t, 2H, CH₂), 6.8-7.00 (m, 4H, Ar), 7.3-8.1(m, 4H, Ar); MS(m/z): 595; Anal. Calc'd for $C_{23}H_{18}Br_2ClN_3O_4$ Cal: C, 46.38; H, 3.05; Br, 26.83; Cl, 5.95; N, 7.05; O, 10.74. Found: C, 46.40; H, 3.07; Br, 26.85; Cl, 5.93; N, 7.05; O, 10.74.

6, 8-Dibromo-3-(2-(7-acetyloxy-4-methyl-2-oxoquinolin-1(2H)-yl) ethyl)-2-methylchloroquinazolin-4(3H)-one (RS33)



M.W. 657; M.F. $C_{28}H_{20}Br_2ClN_3O_4$; Yield 66% (10.4 g); M.P. $249\text{ }^{\circ}C$; R_f 0.43(CH₃Cl); IR (KBr) cm^{-1} : 3124 (Ar), 1675(C=O), 1527 (CH); Anal. Calc'd for $C_{28}H_{22}ClN_3O_4$: C, 51.13; H, 3.06; Br, 24.30; Cl, 5.39; N, 6.39; O, 9.73. Found C, 51.16; H, 3.03; Br, 24.34; Cl, 5.35; N, 6.33; O, 9.8.

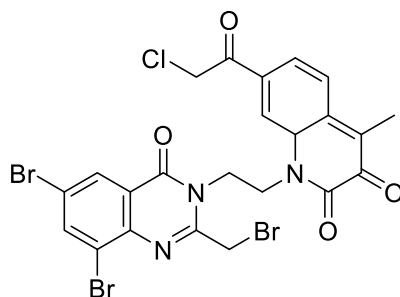
6, 8-Dibromo-3-(2-(7-chloroacetyl oxy-4-methyl-2-oxoquinolin-1(2H)-yl) ethyl)-2-chloromethylquinazolin-4(3H)-one (RS36)



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M.W. 630; M.F. $C_{23}H_{17}Br_2Cl_2N_3O_4$; Yield 66% (10.9 g); M.P. $267^{\circ}C$; R_f 0.42 (CH_3Cl); IR (KBr) cm^{-1} : 3122 (Ar), 1674 (C=O), 1525 (CH); Anal. Calc'd for $C_{23}H_{17}Br_2Cl_2N_3O_4$: C, 43.84; H, 2.72; Br, 25.36; Cl, 11.25; N, 6.67; O, 10.16. Found C, 43.86; H, 2.70; Br, 25.40; Cl, 11.21; N, 6.65; O, 10.18.

Biological evolution

| COMPOUND | MICROORGANISM | | | | | |
|----------|---------------|-------|-------|-------|-------|-------|
| | A | B | C | D | E | F |
| RS19 | 19.06 | 17.54 | 13.97 | 19.06 | 12.98 | -† |
| RS20 | 13.76 | 19.63 | 19.05 | 20.97 | -† | -† |
| RS21 | -† | -† | -† | 20.04 | 17.09 | 18.98 |
| RS22 | 18.45 | 19.26 | 20.08 | 17.09 | 19.00 | 18.00 |
| RS23 | 17.64 | 18.67 | 11.90 | -† | 18.00 | -† |
| RS24 | 13.02 | 12.05 | 19.05 | 17.76 | -† | -† |
| RS25 | -† | -† | -† | -† | 19.07 | 18.00 |
| RS26 | 18.90 | 20.04 | 17.67 | 16.87 | -† | 10.00 |
| RS27 | 11.56 | 18.09 | 19.05 | 20.06 | 17.07 | 16.94 |



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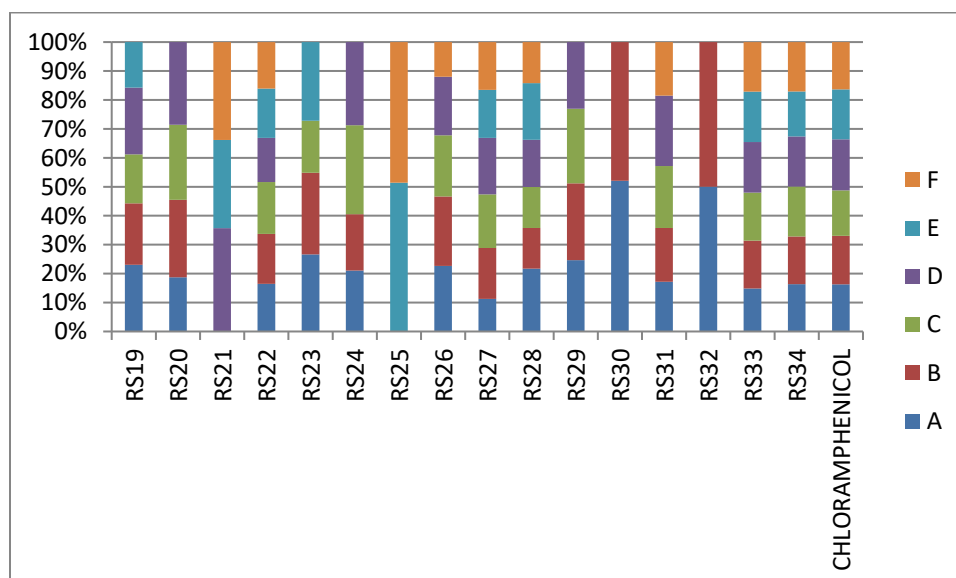
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| | | | | | | |
|-----------------|-------|-------|-------|-------|-------|-------|
| RS28 | 20.05 | 12.98 | 13.09 | 15.06 | 18.09 | 13.09 |
| RS29 | 18.24 | 19.67 | 19.09 | 17.05 | -† | -† |
| RS30 | 19.57 | 18.00 | -† | -† | -† | -† |
| RS31 | 12.09 | 13.03 | 15.06 | 17.08 | -† | 13.00 |
| RS32 | 18.02 | 18.00 | -† | -† | -† | -† |
| RS33 | 17.06 | 18.98 | 19.03 | 20.01 | 19.98 | 19.66 |
| RS34 | 18.96 | 19.08 | 19.98 | 20.00 | 17.98 | 19.77 |
| CHLORAMPHENICOL | 22.08 | 22.64 | 21.24 | 23.88 | 23.28 | 22.13 |

*(A) *E. coli*; (B) *P. aeruginosa*; (C) *B. subtilis*; (D) *S. pyogenes*; (E) *K. pneumonia*;

(F) *S. aureus* † (-) Inactive

Graphical comparison





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