Table of contents

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1. General Methods

All the chemicals were purchased from the commercial suppliers without further purification. All reactions were monitored by TLC, analytical thin-layer chromatography was performed on GF254 silica gel glass plates. Column chromatography was performed with silica gel (200-300 mesh). All unknown compounds were structurally verified by ^{1}H NMR, ^{13}C NMR and MS, and ^{1}H, ^{13}C NMR spectra were recorded on a Bruker Advance drx 400 spectrometer operating at 400MHz and 100 MHz, respectively, the chemical shifts are reported in ppm and the coupling constant in Hz. MS analysed for the known compounds by Waters HPLC/ZQ 4000.

2. Experiment procedure

2.1 General procedure for the synthesis of 2-phenylquinazolin-4(3H)-one(4aa):

To a mixture of 2-Bromobenzonitrile (183.4 mg, 1 mmol), benzaldehyde (210.5 mg, 2 mmol), $CuCl_2$ (17.2 mg, 0.1 mmol), Cs_2CO_3 (652.2 mg, 2mmol), and L-proline (23.2 mg, 0.2 mmol) in H_2O (2 mL) was added 27% aqueous ammonia (1 mL) in a tube under air atmosphere. Then the tube was sealed, and the mixture was stirred at 100℃ for 12 h. Next, the tube was opened to air and the mixture was stirred at 100 ℃ for another 12 h. After being cooled to room temperature, the resulting mixture was quenched with NH_4Cl solution and extracted with ethyl acetate. The combined organic layer was washed with brine, and then dried over anhydrous Na_2SO_4. The solvent was evaporated under reduced pressure and the crude product was purified by chromatography on silica-gel to afford 2-phenylquinazolin-4(3H)-one (**4aa)** in 75% isolated yield. ^{1}H NMR (400 MHz, $CDCl_3$-*d*) δ 11.24 (s, 1H，-NH-), 8.27 (d, J = 7.8 Hz, 1H, Ar-H), 8.16 (dd, J = 6.6, 3.0 Hz, 2H, Ar-H), 7.82 – 7.71 (m, 2H, Ar-H), 7.57 – 7.49 (m, 3H, Ar-H), 7.48 – 7.41 (m, 1H, Ar-H). ^{13}C NMR (101 MHz, $CDCl_3$-*d*) δ 151.60, 134.87, 132.77, 131.64, 129.05, 127.97, 127.25, 126.79, 126.34, 120.84. HRMS (ESI) calcd for $C_{14}H_{11}N_2O$ $[M+H]^+$: 223.0866. Found: 223.0865.

2.2 General procedure for the synthesis of 2-phenyl-2,3-dihydroquinazolin- 4(1H)-one(5aa):

2-bromobenzonitrile (182.3 mg, 1 mmol), benzaldehyde (213.6 mg, 2 mmol), $CuCl_2$ (17.1 mg, 0.1 mmol), Cs_2CO_3 (651.3 mg, 2 mmol) and L-proline 23.4 mg, 0.2 mmol) in H_2O (2 mL) were added into a tube and stirred. Remove the air inside the tube under the reduced pressure and flush with N_2, repeat this operation 3 times and protected the starting materials under N_2. 27% of Aqueous ammonia (1 mL) was added into the reaction mixture under nitrogen. The tube was then sealed and the mixture was stirred at 100 ℃for 24 hours. After cooling to room temperature, the resulting mixture was quenched with NH_4Cl solution and extracted with ethyl acetate. The combined organic layers were washed with brine and then dried over anhydrous Na_2SO_4.The solvent was evaporated under reduced pressure and the crude product was purified by chromatography on silica-gel to afford 2-phenyl-2,3-dihydroquinazolin-4(1H)-one(5aa) in 74% isolated yield. ^{1}H NMR (400 MHz, $CDCl_3$-*d*) δ 7.97 (d, J = 7.8 Hz, 1H, Ar-H), 7.67 – 7.56 (m, 2H, Ar-H), 7.55 – 7.41 (m, 3H, Ar-H), 7.36 (t, J = 7.7 Hz, 1H, Ar-H), 6.93 (t, J = 7.5 Hz, 1H, Ar-H), 6.70 (d, J = 8.0 Hz, 1H, Ar-H), 5.93 (s, 1H, -CH-), 5.80 (s, 1H, -NH-), 4.42 (s, 1H, -NH-).^{13}C NMR (101 MHz, DMSO) δ 163.98, 148.27, 142.04, 133.70, 128.85, 128.72, 127.75, 127.26, 117.51, 115.36, 114.80, 66.96. HRMS (ESI) calcd for $C_{14}H_{13}N_2O[M+H]^+$: 225.1022. Found: 225.1021.

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2.3 General procedure for the synthesis of 2-phenylquinazolin-4(3H)-one (4aa) with Scheme 3:

o-aminobenzanitrile (119.8 mg, 1 mmol), benzaldehyde (217.8 mg, 2 mmol), $CuCl_2$ (17.6 mg, 0.1 mmol), Cs_2CO_3 (652.3 mg, 2 mmol) and L-proline 23.6 mg, 0.2 mmol) in H_2O (2 mL) was added in a 5 ml reaction bottle. The the mixture was stirred at 100 ℃ for 48 hours. After cooling to room temperature, the resulting mixture was quenched with NH_4Cl solution and extracted with ethyl acetate. The combined organic layers were washed with brine and then dried over anhydrous Na_2SO_4. The solvent was evaporated under reduced pressure and the crude product was purified by chromatography on silica-gel to afford 2-phenylquinazolin-4(3H)-one (**4aa**) in 43% isolated yield.

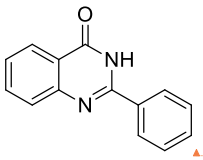
2.4 General procedure for the synthesis of 2-phenyl-2,3-dihydroquinazolin- 4(1H)-one (5aa) with Scheme 3:

o-Aminobenzanitrile (120.8 mg, 1 mmol), benzaldehyde (216.7 mg, 2 mmol), $CuCl_2$ (17.3 mg, 0.1 mmol), Cs_2CO_3 (653.7 mg, 2 mmol) and L-proline （23.3 mg, 0.2 mmol) in H_2O (2 mL) was added in a 5 ml reaction bottle under nitrogen. Remove the air inside the tube under the reduced pressure and flush with N_2, repeat this operation 3 times and protected the starting materials under N_2. The mixture was stirred at 100 ℃ for 24 hours. After cooling to room temperature, the resulting mixture was quenched with NH_4Cl solution and extracted with ethyl acetate. The combined organic layers were washed with brine and then dried over anhydrous Na_2SO_4. The solvent was evaporated under reduced pressure and the crude product was purified by chromatography on silica-gel to afford 2-phenyl-2,3-dihydroquinazolin-4(1H)-one (**5aa**) in 76% isolated yield.

2.5 General procedure for the synthesis of 2-phenyl-2,3-dihydroquinazolin- 4(1H)-one (5aa) with Scheme 4:

o-Aminobenzamide (139.1 mg, 1 mmol), benzaldehyde (209.9 mg, 2 mmol), $CuCl_2$ (17.6 mg, 0.1 mmol), Cs_2CO_3 (657.0 mg, 2 mmol) and L-proline （23.6 mg, 0.2 mmol) in H_2O (2 mL) was added in a 5 ml reaction bottle. The the mixture was stirred at 100 ℃ for 14 hours. After cooling to room temperature, the resulting mixture was quenched with NH_4Cl solution and extracted with ethyl acetate. The combined organic layers were washed with brine and then dried over anhydrous Na_2SO_4. The solvent was evaporated under reduced pressure and the crude product was purified by chromatography on silica-gel to afford 2-phenyl-2,3-dihydroquinazolin-4(1H)-one (**5aa**) in 95% isolated yield.

3. Spectral and Analytical Data of products



2-phenylquinazolin-4(3H)-one (4aa)[1]:

^{1}HNMR (400 MHz, $CDCl_3$-*d*) δ 11.24 (s, 1H,-NH-), 8.27 (d, J = 7.8 Hz, 1H, Ar-H), 8.16 (dd, J = 6.6, 3.0 Hz, 2H, Ar-H), 7.82 – 7.71 (m, 2H, Ar-H), 7.57 – 7.49 (m, 3H, Ar-H), 7.48 – 7.41 (m, 1H, Ar-H).

^{13}C NMR (100 MHz, $CDCl_3$-*d*) δ 151.60, 134.87, 132.77, 131.64, 129.05, 127.97, 127.25, 126.79, 126.34, 120.84. HRMS (ESI) calcd for $C_{14}H_{11}N_2O$ $[M+H]^+$: 223.0871. Found: 223.0866.

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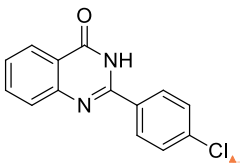
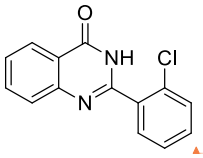


2-(4-chlorophenyl)quinazolin-4(3H)-one (4ab)[1]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.60 (s, 1H, -NH-), 8.21 (d, J = 8.6 Hz, 2H, Ar-H), 8.16 (d, J = 7.8 Hz, 1H, Ar-H), 7.85 (t, J = 7.6 Hz, 1H, Ar-H), 7.75 (d, J = 8.1 Hz, 1H, Ar-H), 7.63 (d, J = 8.6 Hz, 2H, Ar-H), 7.54 (t, J = 7.4 Hz, 1H, Ar-H).

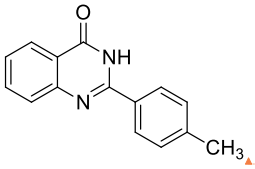
^{13}C NMR (101 MHz, DMSO) δ 162.56, 151.74, 148.98, 136.70, 135.08, 131.97, 130.03, 129.10, 127.93, 127.19, 126.28, 121.42.



2-(2-chlorophenyl)quinazolin-4(3H)-one (4ac)[1]:

^{1}H NMR (400 MHz, $CDCl_3$-d) δ 10.62 (s, 1H, -NH-), 8.27 (d, J = 7.9 Hz, 1H, Ar-H), 7.81 (d, J = 4.0 Hz, 3H, Ar-H), 7.58 – 7.40 (m, 4H, Ar-H).

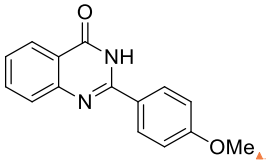
^{13}C NMR (101 MHz, $CDCl_3$) δ 162.42, 151.12, 148.92, 134.83, 132.72, 132.03, 131.91, 131.34, 130.51, 127.91, 127.38, 127.30, 126.44, 121.02.



2-(*p*-tolyl)quinazolin-4(3H)-one (4ad)[1]:

^{1}H NMR (400 MHz, $CDCl_3$-d) δ 11.28 (s, 1H, -NH-), 8.36 (d, J = 7.9 Hz, 1H, Ar-H), 8.15 (d, J = 8.2 Hz, 2H, Ar-H), 7.83 (q, J = 8.4 Hz, 2H, Ar-H), 7.52 (t, J = 7.3 Hz, 1H, Ar-H), 7.41 (d, J = 8.0 Hz, 2H, Ar-H), 2.49 (s, 3H , -CH_3).

^{13}C NMR (101 MHz, $CDCl_3$) δ 163.90, 151.80, 149.52, 142.15, 134.78, 129.87, 129.69, 127.81, 127.33, 126.50, 126.31, 120.72, 21.50.



2-(4-methoxyphenyl)quinazolin-4(3H)-one (4ae)[1]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.40 (s, 1H, -NH-), 8.17 (dd, J = 25.4, 8.3 Hz, 3H, Ar-H), 7.82 (t, J = 7.5 Hz, 1H, Ar-H), 7.71 (d, J = 8.1 Hz, 1H, Ar-H), 7.49 (t, J = 7.5 Hz, 1H, Ar-H), 7.09 (d, J = 8.8 Hz, 2H, Ar-H), 3.86 (s, 3H, -CH_3).

^{13}C NMR (101 MHz, DMSO-d_6) δ 162.70, 162.27, 152.26, 149.34, 134.94, 129.86, 127.69, 126.52, 126.23, 125.21, 121.10, 114.40, 55.86.

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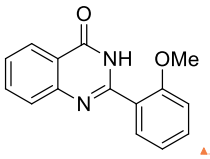
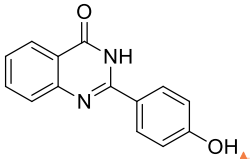


2-(2-methoxyphenyl)quinazolin-4(3H)-one (4af)[1]:

^{1}H NMR (400 MHz, $CDCl_3$-*d*) δ 10.92 (s, 1H, -NH-), 8.53 (d, J = 9.4 Hz, 1H, Ar-H), 8.30 (d, J = 7.8 Hz, 1H, Ar-H), 7.77 (q, J = 8.3 Hz, 2H, Ar-H), 7.55 – 7.43 (m, 2H, Ar-H), 7.16 (t, J = 7.6 Hz, 1H, Ar-H), 7.06 (d, J = 8.4 Hz, 1H, Ar-H), 4.05 (s, 3H, -CH_3).

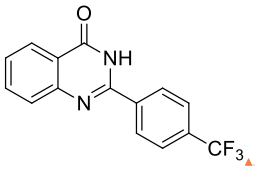
^{13}C NMR (101 MHz, $CDCl_3$) δ 161.82, 157.72, 150.71, 149.32, 134.40, 133.13, 131.47, 127.78, 126.39, 126.34, 121.79, 121.12, 119.84, 111.77, 56.09.



2-(4-hydroxyphenyl)quinazolin-4(3H)-one (4ag)[2]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.30 (s, 1H, -NH-), 10.15 (s, 1H, -OH), 8.11 (dd, J = 12.1, 8.6 Hz, 3H, Ar-H), 7.80 (t, J = 7.5 Hz, 1H, Ar-H), 7.68 (d, J = 8.1 Hz, 1H, Ar-H), 7.47 (t, J = 7.4 Hz, 1H, Ar-H), 6.90 (d, J = 8.5 Hz, 2H, Ar-H).

^{13}C NMR (101 MHz, DMSO) δ 162.71, 160.96, 152.53, 149.45, 134.88, 129.98, 127.60, 126.31, 126.22, 123.62, 120.99, 115.76.

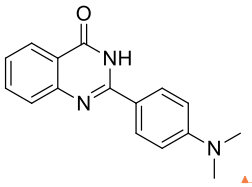


2-(4-(trifluoromethyl)phenyl)quinazolin-4(3H)-one (4ai)[3]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.74 (s, 1H, -NH-), 8.38 (d, J = 8.1 Hz, 2H, Ar-H), 8.19 (d, J = 7.9 Hz, 1H, Ar-H), 7.93 (d, J = 8.2 Hz, 2H, Ar-H), 7.87 (t, J = 7.6 Hz, 1H, Ar-H), 7.78 (d, J = 8.1 Hz, 1H, Ar-H), 7.57 (t, J = 7.5 Hz, 1H, Ar-H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 162.52 , 151.58 , 148.84 , 137.02 , 135.14 , 131.51 (d, $^1J_{C,F}$ = 31.7 Hz), 129.14, 128.09, 127.52, 126.31, 125.90 (dd, $^3J_{C,F}$ = 3.7, $^2J_{C,F}$ = 13.1Hz), 123.01 , 121.61.

^{19}F NMR (376 MHz, DMSO) δ -61.35.

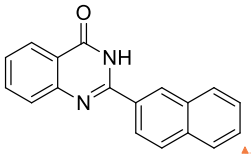


2-(4-(dimethylamino)phenyl)quinazolin-4(3H)-one (4aj)[4]:

^{1}H NMR (400 MHz, $CDCl_3$-*d*) δ 10.70 (s, 1H, -NH-), 8.32 (d, J = 7.7 Hz, 1H, Ar-H), 8.11 (d, J = 9.0 Hz, 2H, Ar-H), 7.78 (s, 2H, Ar-H), 7.44 (s, 1H, Ar-H), 6.82 (d, J = 9.0 Hz, 2H, Ar-H), 3.10 (s, 6H, -CH_3).

^{13}C NMR (101 MHz, $CDCl_3$) δ 163.47, 152.54, 151.79, 149.82, 134.62, 128.45, 127.31, 126.31, 125.63,

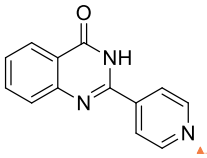
120.30, 119.00, 111.64, 40.08.



2-(naphthalen-2-yl)quinazolin-4(3H)-one (4ak)[2]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.67 (s, 1H, -NH-), 8.83 (s, 1H, Ar-H), 8.36 – 8.26 (m, 1H, Ar-H), 8.24 – 8.16 (m, 1H, Ar-H), 8.05 (d, J = 16.5 Hz, 3H, Ar-H), 7.84 (d, J = 21.9 Hz, 2H, Ar-H), 7.60 (d, J = 38.2 Hz, 3H, Ar-H).

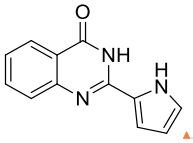
^{13}C NMR (101 MHz, DMSO) δ 162.65, 152.64, 149.19, 135.07, 134.54, 132.69, 130.37, 129.37, 128.58, 128.52, 128.34, 128.08, 127.97, 127.33, 127.08, 126.32, 124.91, 121.46.



2-(pyridin-4-yl)quinazolin-4(3H)-one (4al)[3]:

^{1}H NMR (400 MHz, ~~–~~CDCl$_3$-d) δ 12.03 (s, 1H, -NH-), 8.93 (d, J = 5.5 Hz, 2H, Ar-H), 8.40 (d, J = 7.9 Hz, 1H, Ar-H), 8.23 (d, J = 5.8 Hz, 2H, Ar-H), 7.90 (d, J = 6.1 Hz, 2H, Ar-H), 7.63 (ddd, J = 8.1, 6.0, 2.2 Hz, 1H, Ar-H).

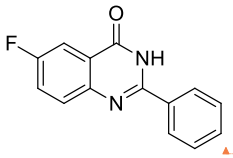
^{13}C NMR (101 MHz, DMSO) δ 162.43, 150.94, 150.69, 148.68, 140.33, 135.19, 128.21, 127.81, 126.34, 121.99, 121.90.



2-(1H-pyrrol-2-yl)quinazolin-4(3H)-one (4ap)[5][5]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.20 (s, 1H, -NH-), 11.74 (s, 1H, -NH-), 8.09 (d, J = 7.7 Hz, 1H, Ar-H), 7.77 (t, J = 7.4 Hz, 1H, Ar-H), 7.62 (d, J = 8.1 Hz, 1H, Ar-H), 7.41 (t, J = 7.4 Hz, 1H, Ar-H), 7.31 (s, 1H, Ar-H), 7.04 (s, 1H, Ar-H), 6.22 (s, 1H, Ar-H).

^{13}C NMR (101 MHz, DMSO) δ 162.30, 149.71, 146.80, 134.91, 126.85, 126.37, 125.62, 124.69, 124.27, 120.90, 112.89, 110.15.



6-~~fluoro~~Fluoro-2-phenylquinazolin-4(3H)-one (4ea)[6]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.66 (s, 1H, -NH-), 8.18 (d, J = 6.9 Hz, 2H, Ar-H), 7.87 – 7.80 (m, 2H, Ar-H), 7.73 (td, J = 8.7, 3.0 Hz, 1H, Ar-H), 7.63 – 7.52 (m, 3H, Ar-H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 162.06, 160.40 (d, $^1J_{C,F}$ ~~J~~= 246.5Hz), 152.27-, 146.04 , 132.97, 131.83, 130.74 (d, $^3J_{C,F}$ = 8.4 Hz), 129.02, 128.16, 123.47 (d, $^2J_{C,F}$ = 24.3 Hz), 122.61 (d, $^3J_{C,F}$ = 9.5

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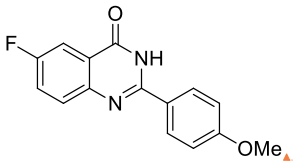
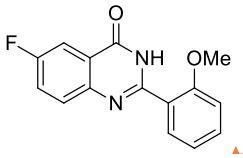
Hz), 110.92 (d, $^{2}J_{C,F}$ ~~J~~ = 23.2 Hz). ^{19}F NMR (376 MHz, DMSO) δ -113.49, -113.50, -113.51, -113.53, -113.53, -113.55.



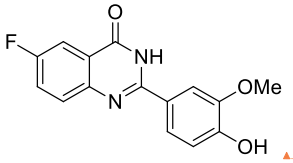
6-fluoro-2-(4-methoxyphenyl)quinazolin-4(3H)-one (4ee)[7]:

^{1}H NMR (400 MHz, $CDCl_3$-*d*) *δ* 9.87 (s, 1H, -NH-), 8.05 (d, *J* = 8.3 Hz, 2H, Ar-H), 7.95 (d, *J* = 7.5 Hz, 1H, Ar-H), 7.82 (dd, *J* = 8.9, 4.6 Hz, 1H, Ar-H), 7.54 (d, *J* = 6.8 Hz, 1H, Ar-H), 7.13 – 7.06 (m, 2H, Ar-H), 3.94 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO-d_6) δ 162.30 , 162.13 (d, $^{4}J_{C,F}$ = 3.0 Hz), 160.14 (d, $^{1}J_{C,F}$ = 245.0 Hz), 151.84 , 146.25 , 130.48 (d, $^{3}J_{C,F}$ = 8.2 Hz), 129.85 , 125.05 , 123.42 (d, $^{2}J_{C,F}$ = 24.1 Hz), 122.24 (d, $^{3}J_{C,F}$ = 8.4 Hz), 114.43 , 110.85 (d, $^{2}J_{C,F}$ = 23.2 Hz), 55.88 . ^{19}F NMR (376 MHz, DMSO) *δ* -114.17. HRMS (ESI) calcd for $C_{15}H_{12}FN_2O_2[M+H]^+$: 271.0877. Found: 271.0876.



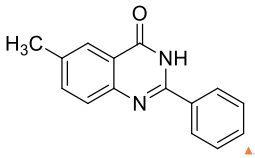
6-fluoro-2-(2-methoxyphenyl)quinazolin-4(3H)-one (4ef)[7]:

^{1}HNMR(400MHz, $CDCl_3$-*d*) *δ* 11.00 (s, 1H, -NH-), 8.53 (d, J = 7.9 Hz, 1H, Ar-H), 7.94 (d, J = 8.3 Hz, 1H, Ar-H), 7.80 (dd, J = 8.9, 4.9 Hz, 1H, Ar-H), 7.57 – 7.46 (m, 2H, Ar-H), 7.18 (t, J = 7.6 Hz, 1H, Ar-H), 7.09 (d, J = 8.4 Hz, 1H, Ar-H), 4.08 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO-d_6) δ 161.07 (d, $^{4}J_{C,F}$ = 3.4 Hz), 160.40(d, $^{1}J_{C,F}$ = 245.43 Hz), 157.52 , 152.27 , 146.33 , 132.66 , 130.85 , 130.65 (d, $^{3}J_{C,F}$ = 8.5 Hz), 123.32 (d, $^{2}J_{C,F}$ = 23.9 Hz), 122.95 , 122.62 (d, $^{3}J_{C,F}$ = 8.5 Hz), 120.82 , 112.26, 10.83 (d, $^{2}J_{C,F}$ = 23.4 Hz), 56.17. ^{19}F NMR (376 MHz, DMSO) *δ* -113.56.



6-fluoro-2-(4-hydroxy-3-methoxyphenyl)quinazolin-4(3*H*)-one (4eq):

^{1}H NMR (400 MHz, DMSO-d_6) *δ* 12.47 (s, 1H, -NH-), 9.76 (s, 1H,-OH), 8.09 – 7.61 (m, 5H, Ar-H), 6.91 (d, *J* = 8.3 Hz, 1H, Ar-H), 3.90 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO-d_6) δ 162.23 , 160.02 (d, $^{1}J_{C,F}$ = 244.7 Hz), 152.02 , 150.38 , 147.89 , 146.33 , 130.38 , 123.62 , 123.38 (d, $^{2}J_{C,F}$ = 24.2 Hz), 122.07 (d, $^{3}J_{C,F}$ = 7.9 Hz), 121.87 , 115.83 , 111.73 , 110.83 (d, $^{2}J_{C,F}$ = 23.2 Hz), 56.19. HRMS (ESI) calcd for $C_{15}H_{12}FN_2O_3[M+H]^+$: 287.0826. Found: 287.0825. ^{19}F NMR (376 MHz, DMSO) *δ* -114.53.



6-methyl-2-phenylquinazolin-4(3H)-one (4fa)[3]:

^{1}H NMR (400 MHz, $CDCl_3$-*d*) *δ* 10.82 (s, 1H, -NH-), 8.19 (d, *J* = 5.7 Hz, 2H, Ar-H), 8.14 (s, 1H, Ar-

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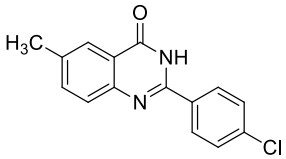
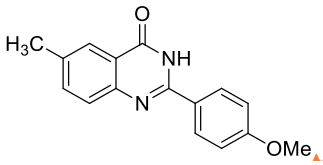
H), 7.76 (d, *J* = 8.3 Hz, 1H, Ar-H), 7.64 (d, *J* = 19.1 Hz, 4H, Ar-H), 2.55 (s, 3H, -CH_3). 13C NMR (101 MHz, DMSO) δ 162.56, 151.86, 147.15, 136.71, 136.28, 133.19, 131.63, 128.99, 128.04, 127.79, 125.65, 121.13, 21.26.



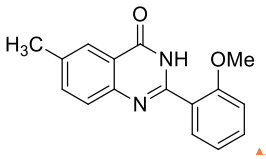
2-(4-chlorophenyl)-6-methylquinazolin-4(3H)-one (4fb)[8]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.53 (s, 1H, -NH-), 8.20 (d, *J* = 8.3 Hz, 2H, Ar-H), 7.96 (s, 1H, Ar-H), 7.63 (s, 4H, Ar-H), 2.47 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO) δ 162.49, 150.95, 147.00, 136.95, 136.53, 136.35, 132.05, 129.91, 129.08, 127.82, 125.68, 121.16, 21.27.



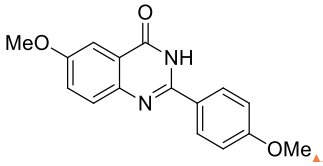
2-(4-methoxyphenyl)-6-methylquinazolin-4(3H)-one (4fe)[3]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.32 (s, 1H, -NH-), 8.18 (d, *J* = 8.8 Hz, 2H, Ar-H), 7.93 (s, 1H, Ar-H), 7.67 – 7.58 (m, 2H, Ar-H), 7.08 (d, *J* = 8.8 Hz, 2H, Ar-H), 3.85 (s, 3H, -CH_3), 2.45 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO) δ 162.68, 162.14, 151.47, 147.34, 136.20, 136.16, 129.70, 127.54, 125.62, 125.31, 120.82, 114.37, 55.84, 21.22.



2-(2-methoxyphenyl)-6-methylquinazolin-4(3H)-one (4ff):

^{1}H NMR (400 MHz, $CDCl_3$-*d*) δ 10.88 (s, 1H, -NH-), 8.52 (d, *J* = 7.6 Hz, 1H, Ar-H), 8.10 (s, 1H, Ar-H), 7.69 (d, *J* = 8.3 Hz, 1H, Ar-H), 7.58 (d, *J* = 9.8 Hz, 1H, Ar-H), 7.50 (t, *J* = 7.8 Hz, 1H, Ar-H), 7.16 (t, *J* = 7.6 Hz, 1H, Ar-H), 7.06 (d, *J* = 8.4 Hz, 1H, Ar-H), 4.05 (s, 3H, -CH_3), 2.50 (s, 3H, -CH_3).
^{13}C NMR (101 MHz, DMSO) δ 161.54, 157.55, 151.88, 147.46, 144.31, 136.62, 136.09, 132.52, 130.83, 127.68, 125.54, 123.08, 120.85, 112.29, 56.19, 21.25. HRMS (ESI) calcd for $C_{16}H_{15}N_2O_2[M+H]^+$:267.1128. Found: 267.1127.



6-methoxy-2-(4-methoxyphenyl)quinazolin-4(3H)-one (4ge)[9]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.37 (s, 1H,-NH-), 8.17 (d, *J* = 8.8 Hz, 2H, Ar-H), 7.66 (d, *J* = 8.9 Hz, 1H, Ar-H), 7.53 (d, *J* = 2.8 Hz, 1H, Ar-H), 7.42 (d, *J* = 11.8 Hz, 1H, Ar-H), 7.08 (d, *J* = 8.8 Hz, 2H, Ar-H), 3.89 (s, 3H, -CH_3), 3.85 (s, 3H, -CH_3). 13C NMR (101 MHz, DMSO) δ 162.51, 161.98, 157.83,

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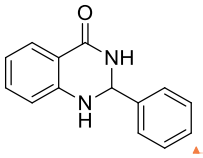
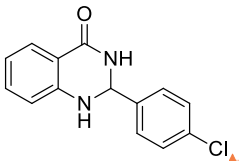
150.14, 143.82, 129.53, 129.41, 125.35, 124.51, 121.84, 114.38, 106.22, 56.02, 55.84.



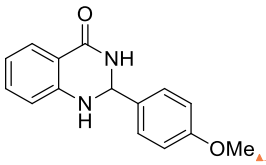
2-phenyl-2,3-dihydroquinazolin-4(1H)-one (5aa)[10]:

^{1}H NMR (400 MHz, $CDCl_3$-*d*) δ 7.97 (d, J = 7.8 Hz, 1H, Ar-H), 7.67 – 7.56 (m, 2H, Ar-H), 7.55 – 7.41 (m, 3H, , Ar-H), 7.36 (t, J = 7.7 Hz, 1H, Ar-H), 6.93 (t, J = 7.5 Hz, 1H, Ar-H), 6.70 (d, J = 8.0 Hz, 1H, Ar-H), 5.93 (s, 1H,-CH-), 5.80 (s, 1H,-NH-), 4.42 (s, 1H, -NH-). ^{13}C NMR (101 MHz, DMSO) δ 163.98, 148.27, 142.04, 133.70, 128.85, 128.72, 127.75, 127.26, 117.51, 115.36, 114.80, 66.96. HRMS (ESI) calcd for $C_{14}H_{13}N_2O[M+H]^+$: 225.1022. Found: 225.1021.



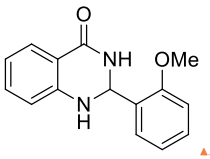
2-(4-chlorophenyl)-2,3-dihydroquinazolin-4(1H)-one (5ab)[11]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.33 (s, 1H,-NH-), 7.62 (dd, J = 7.7, 1.5 Hz, 1H, Ar-H), 7.52 (d, J = 8.6 Hz, 2H, Ar-H), 7.49 – 7.43 (m, 2H, Ar-H), 7.30 – 7.21 (m, 1H, Ar-H), 7.14 (s, 1H,-CH-), 6.75 (d, J = 7.7 Hz, 1H, Ar-H), 6.69 (t, J = 7.9 Hz, 1H, Ar-H), 5.78 (s, 1H, -NH-). ^{13}C NMR (101 MHz, DMSO) δ 163.90, 148.06, 141.08, 133.80, 133.39, 129.16, 128.72, 127.78, 117.70, 115.36, 114.87, 66.18.



2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (5ae)[10]:

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.18 (s, 1H,-NH-), 7.62 (d, J = 9.1 Hz, 1H, Ar-H), 7.42 (d, J = 8.7 Hz, 2H, Ar-H), 7.28 – 7.20 (m, 1H, Ar-H), 7.01 (s, 1H,-NH-), 6.95 (d, J = 8.7 Hz, 2H, Ar-H), 6.75 (d, J = 7.8 Hz, 1H, Ar-H), 6.68 (t, J = 7.9 Hz, 1H, Ar-H), 5.71 (s, 1H, -CH-), 3.75 (s, 3H -CH_3). ^{13}C NMR (101 MHz, DMSO) δ 164.10, 159.84, 148.42, 133.88, 133.64, 128.62, 127.75, 117.49, 115.41, 114.82, 114.04, 66.72, 55.58.



2-(2-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (5af)[137]:

^{1}H NMR (400 MHz, $CDCl_3$-*d*) δ 7.91 (d, J = 7.8 Hz, 1H, Ar-H), 7.56 (d, J = 7.6 Hz, 1H, Ar-H), 7.32 (dt, J = 15.4, 7.6 Hz, 2H, Ar-H), 7.02 – 6.91 (m, 2H, Ar-H), 6.84 (t, J = 7.5 Hz, 1H, Ar-H), 6.67 (d, J = 8.1 Hz, 1H, Ar-H), 6.28 (s, 1H,-CH-), 6.25 (s, 1H, -NH-), 4.76 (s, 1H, -NH-), 3.90 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.99, 156.35, 147.07, 133.87, 130.11, 128.46, 127.84, 126.66, 120.90, 119.01, 115.21, 114.57, 110.58, 62.43, 55.43.

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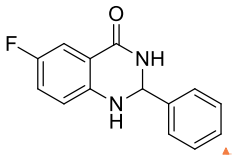
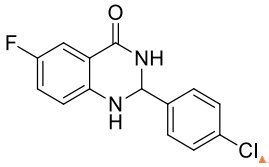


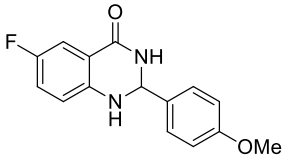
6-fluoro-2-phenyl-2,3-dihydroquinazolin-4(1H)-one (5ea):

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.48 (s, 1H,-NH-), 7.52 (dd, J = 8.0, 1.3 Hz, 2H, Ar-H), 7.46 – 7.32 (m, 4H, Ar-H), 7.16 (td, J = 8.7, 3.1 Hz, 1H, Ar-H), 7.10 (s, 1H, -NH-), 6.81 (dd, J = 8.9, 4.5 Hz, 1H, Ar-H), 5.77 (s, 1H, -CH-). ^{13}C NMR (101 MHz, DMSO-d_6) δ 163.22 , 155.14 (d, $^{1}J_{C,F}$ = 233.4 Hz), 145.04 , 141.57 , 128.97 , 128.75 , 127.37 , 121.17 (d, $^{2}J_{C,F}$ = 23.4 Hz), 116.58 (d, $^{3}J_{C,F}$ = 7.1 Hz), 116.12 (d, $^{3}J_{C,F}$ = 6.6 Hz), 112.90 (d, $^{2}J_{C,F}$ = 22.9 Hz), 67.14 . ^{19}F NMR (376 MHz, DMSO) δ -125.22.



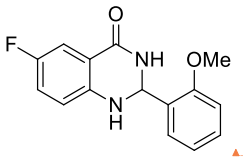
2-(4-chlorophenyl)-6-fluoro-2,3-dihydroquinazolin-4(1H)-one (5eb):

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.50 (s, 1H, -NH-), 7.55 – 7.49 (m, 2H, Ar-H), 7.49 – 7.43 (m, 2H, Ar-H), 7.32 (dd, J = 9.0, 3.1 Hz, 1H, Ar-H), 7.16 (td, J = 8.7, 3.1 Hz, 1H, Ar-H), 7.12 (s, 1H, -NH-), 6.79 (dd, J = 8.9, 4.5 Hz, 1H), 5.77 (s, 1H, -CH-). ^{13}C NMR (101 MHz, DMSO-d_6) δ 163.07, 155.20 (d, $^{1}J_{C,F}$ = 233.5 Hz), 144.79, 140.62, 133.50, 129.24, 128.74, 121.26 (d, $^{2}J_{C,F}$ = 23.5 Hz), 116.67 (d, $^{3}J_{C,F}$ = 7.1 Hz), 116.12 (d, $^{3}J_{C,F}$ = 6.9 Hz), 112.92 (d, $^{2}J_{C,F}$ = 22.9 Hz), 66.31. ^{19}F NMR (376 MHz, DMSO) δ -125.77.



6-fluoro-2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (5ee):

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.36 (s, 1H, -NH-), 7.42 (d, J = 8.6 Hz, 2H, Ar-H), 7.32 (dd, J = 9.0, 3.0 Hz, 1H, Ar-H), 7.15 (td, J = 8.7, 3.1 Hz, 1H, Ar-H), 6.99 (s, 1H, Ar-H), 6.97 (s, 1H, Ar-H), 6.95 (s, 1H,-CH-), 6.78 (dd, J = 8.9, 4.5 Hz, 1H, Ar-H), 5.70 (s, 1H, -NH-), 3.76 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO-d_6) δ 163.31, 159.93, 155.14 (d, $^{1}J_{C,F}$ = 233.3 Hz), 145.18, 133.39, 128.71, 121.08 (d, $^{2}J_{C,F}$ = 23.4 Hz), 116.57 (d, $^{3}J_{C,F}$ = 7.1 Hz), 116.17 (d, $^{3}J_{C,F}$ = 6.8 Hz), 114.06, 112.88 (d, $^{2}J_{C,F}$ = 23.1 Hz), 66.89, 55.57 . HRMS (ESI) calcd for $C_{15}H_{14}FN_2O_2[M+H]^+$: 273.1034 Found: 273.1032. ^{19}F NMR (376 MHz, DMSO) δ -125.76.



6-fluoro-2-(2-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one (5eq):

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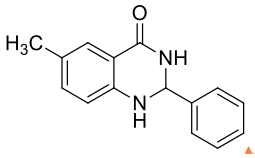
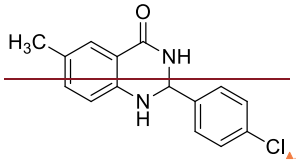
^{1}H NMR (400 MHz, DMSO-d_6) δ 8.19 (s, 1H, -NH-), 7.42 (d, J = 7.5 Hz, 1H, Ar-H), 7.38 – 7.30 (m, 2H, Ar-H), 7.18 – 7.09 (m, 1H, Ar-H), 7.06 (d, J = 8.2 Hz, 1H, Ar-H), 6.96 (t, J = 7.5 Hz, 1H, Ar-H), 6.81 (dd, J = 8.9, 4.5 Hz, 1H, Ar-H), 6.78 (s, 1H,-NH-), 6.03 (s, 1H,-CH-), 3.84 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO-d_6) δ 163.44 , 156.82 , 155.09 (d, $^1J_{C,F}$ = 233.3 Hz), 145.14 , 130.14 , 128.93 , 127.37 , 121.08 (d, $^2J_{C,F}$ = 23.3 Hz), 120.55 , 116.65 (d, $^3J_{C,F}$ = 7.1 Hz), 115.85 (d, $^3J_{C,F}$ = 6.7 Hz), 112.84 (d, $^2J_{C,F}$ = 22.9 Hz), 111.51, 61.54, 55.93. HRMS (ESI) calcd for $C_{15}H_{14}FN_2O_2$[M+H]$^+$: 273.1034. Found: 273.1032. ^{19}F NMR (376 MHz, DMSO) δ -126.07.



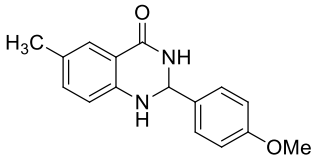
6-methyl-2-phenyl-2,3-dihydroquinazolin-4(1H)-one (5fa)[10]:

^{1}H NMR (400 MHz, $CDCl_3$-d) δ 7.77 (s, 1H, Ar-H), 7.60 (dd, J = 6.5, 2.7 Hz, 2H) , Ar-H, 7.49 – 7.42 (m, 3H, Ar-H), 7.16 (d, J = 9.3 Hz, 1H, Ar-H), 6.63 – 6.56 (m, 1H, Ar-H), 5.86 (s, 1H, -CH-), 5.73 (s, 1H, -NH-), 4.26 (s, 1H,-NH-), 2.30 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO) δ 163.43, 156.53, 153.92, 145.12, 130.13, 128.94, 127.36, 121.07, 120.55, 116.64, 115.84, 112.82, 111.53, 61.53, 55.95.



~~**2-(4-chlorophenyl)-6-methyl-2,3-dihydroquinazolin-4(1H)-one (5fb)[713]:**~~

~~^{1}H NMR (400 MHz, DMSO-d_6) δ 8.28 (s, 1H, Ar-H), 7.55 – 7.40 (m, 5H, Ar-H), 7.08 (d, J = 8.2 Hz, 1H, Ar-H), 6.95 (s, 1H, CH), 6.67 (d, J = 8.2 Hz, 1H, NH), 5.72 (s, 1H, NH), 2.18 (s, 3H, -CH_3).~~



2-(4-methoxyphenyl)-6-methyl-2,3-dihydroquinazolin-4(1H)-one (5fe):

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.11 (s, 1H, Ar-H), 7.46 – 7.31 (m, 3H, Ar-H), 7.06 (dd, J = 8.2, 1.9 Hz, 1H, Ar-H), 6.93 (d, J = 8.7 Hz, 2H, Ar-H), 6.80 (s, 1H,-CH-), 6.66 (d, J = 8.2 Hz, 1H,-NH-), 5.65 (s, 1H,-NH-), 3.74 (s, 3H, -CH_3), 2.18 (s, 3H, -CH_3). ^{13}C NMR (101 MHz, DMSO) δ 164.23, 159.80, 146.28, 134.45, 133.92, 128.63, 127.60, 126.15, 115.47, 114.97, 114.00, 66.84, 55.57, 20.50. HRMS (ESI) calcd for $C_{16}H_{17}N_2O_2$[M+H]$^+$: 269.1285. Found: 269.1283.

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4. NMR Spectrums for comounds 1-32 (Figure S1- ~~S33~~S72)

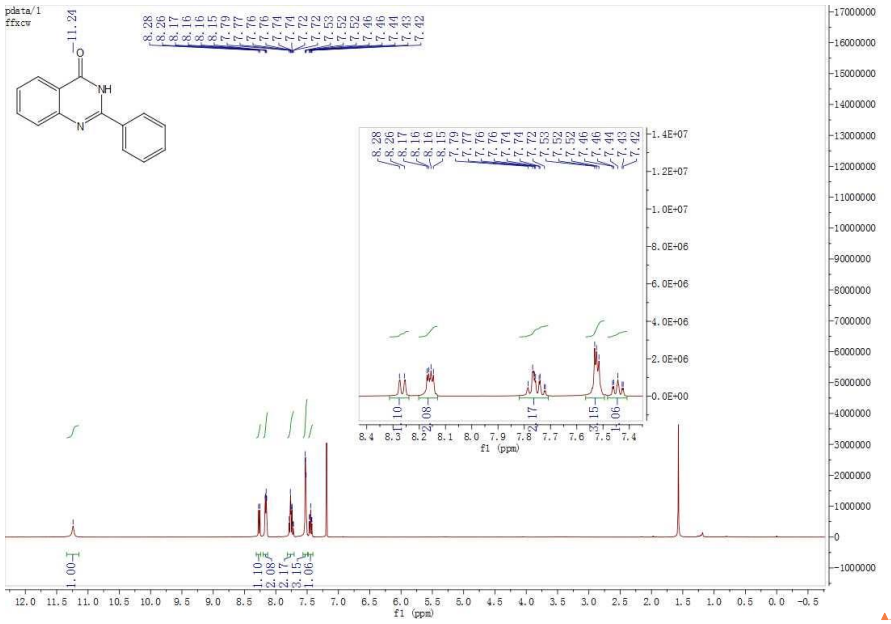

Figure S1

~~Fig. S1.~~ ^{1}H NMR for compound 1 (4aa) 2-phenylquinazolin-4(3H)-one.

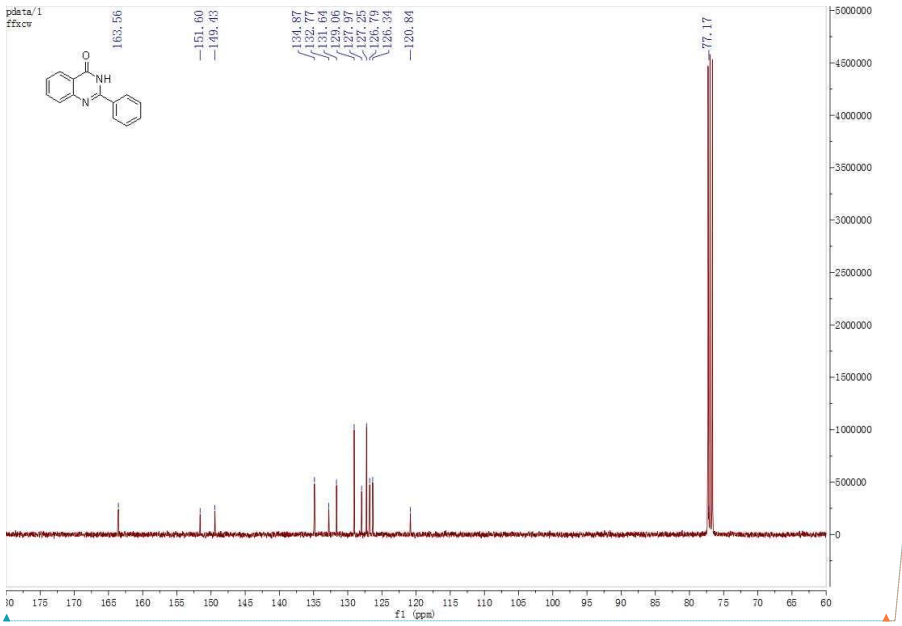

Figure S2

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~~Fig. S2.~~ ^{13}C NMR for compound 1 (4aa) 2-phenylquinazolin-4(3H)-one.

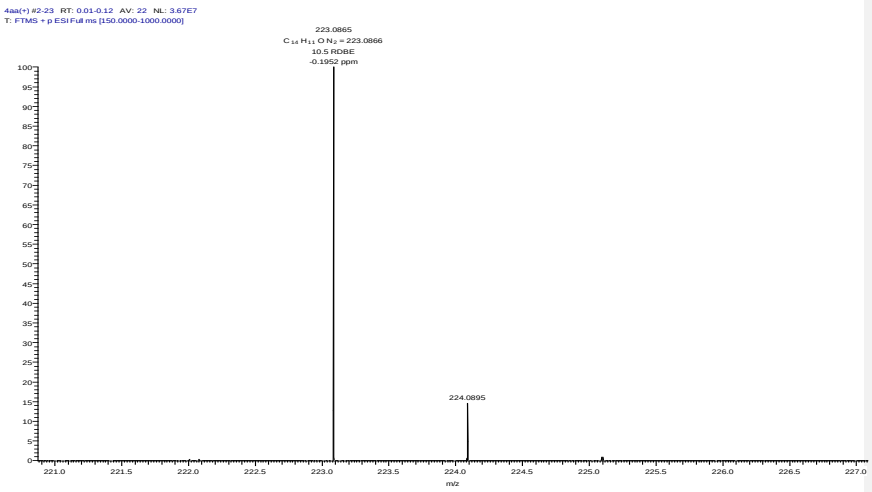

Figure S3HRMS for compound 1 (4aa) 2-phenylquinazolin-4(3H)-one.

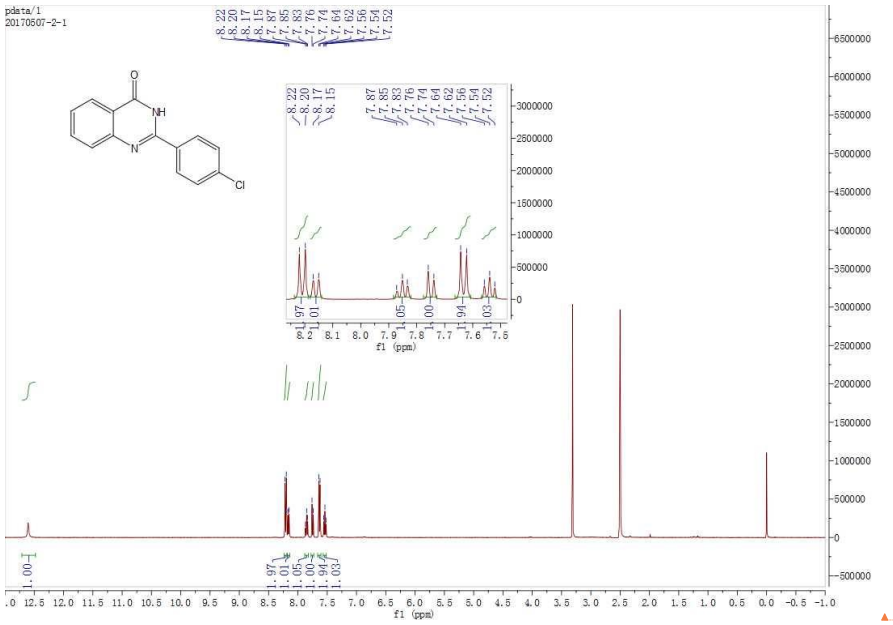

Figure S4

~~Fig. S3.~~ ^{1}H NMR for compound 2 (4ab)2-(4-chlorophenyl)quinazolin-4(3H)-one.

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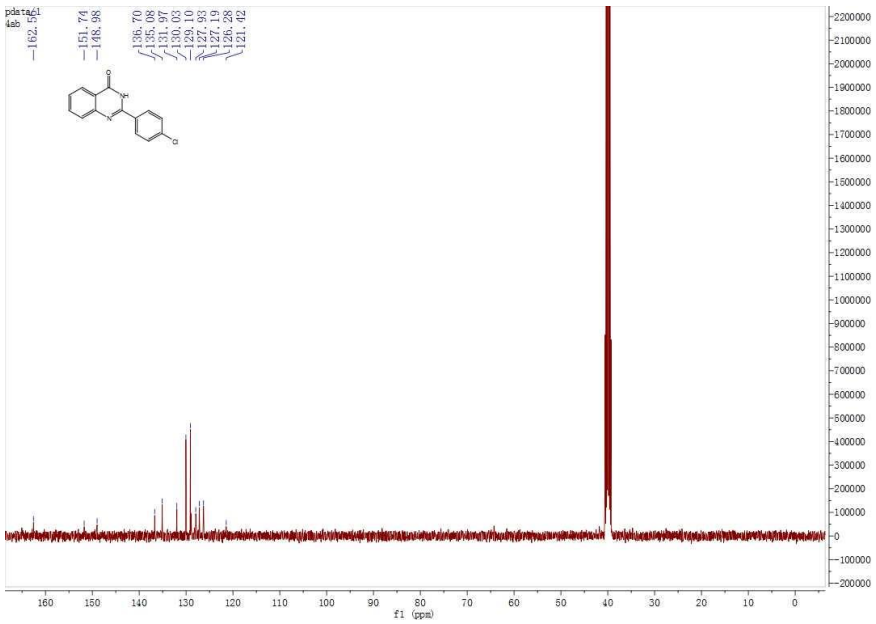

Figure S5

~~Fig. S3.~~ ^{13}C NMR for compound 2 (4ab)2-(4-chlorophenyl)quinazolin-4(3H)-one.

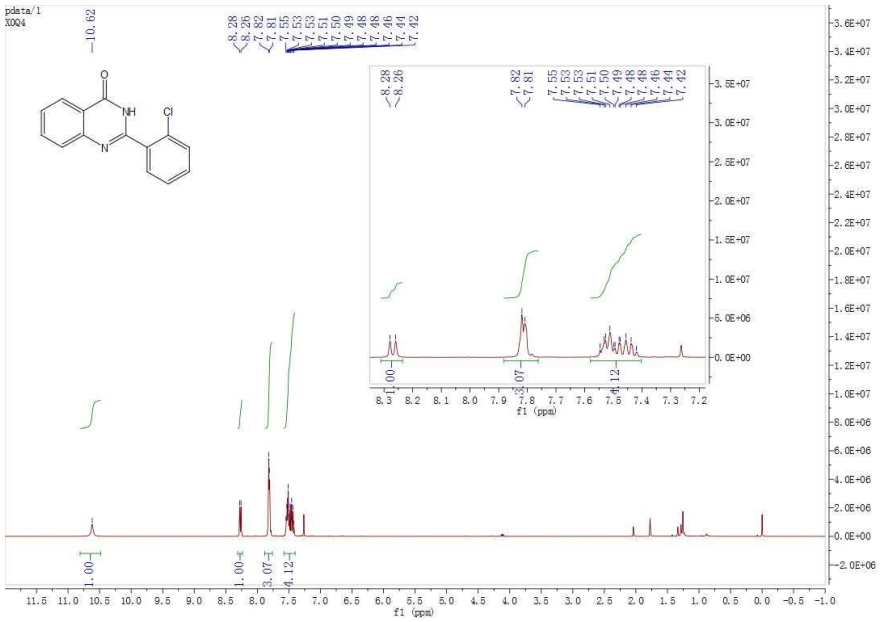

Figure S6

~~Fig. S4.~~ ^{1}H NMR for compound 3 (4ac)2-(2-chlorophenyl)quinazolin-4(3H)-one.

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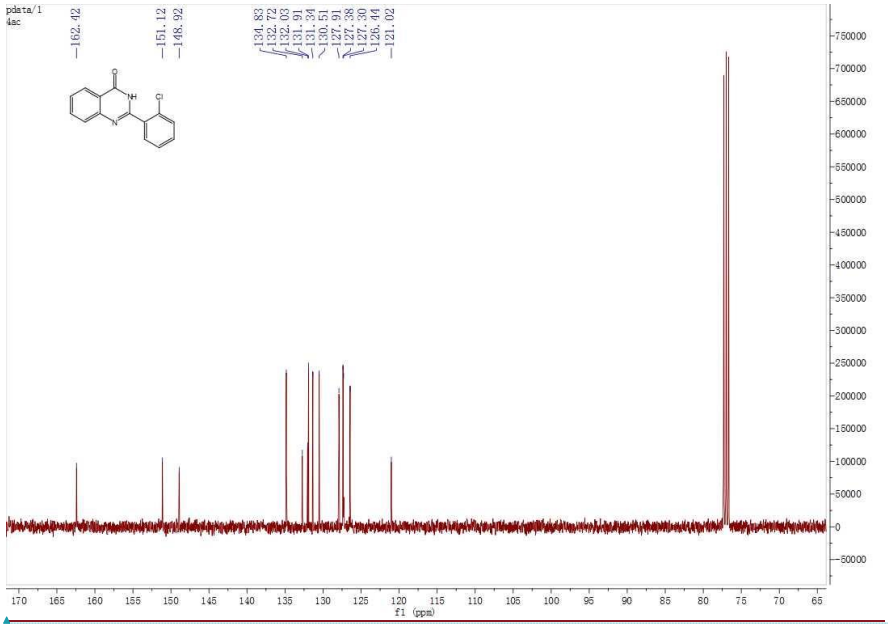

Figure S7 ^{13}C NMR for compound 3 (4ac) 2-(2-chlorophenyl)quinazolin-4(3H)-one.

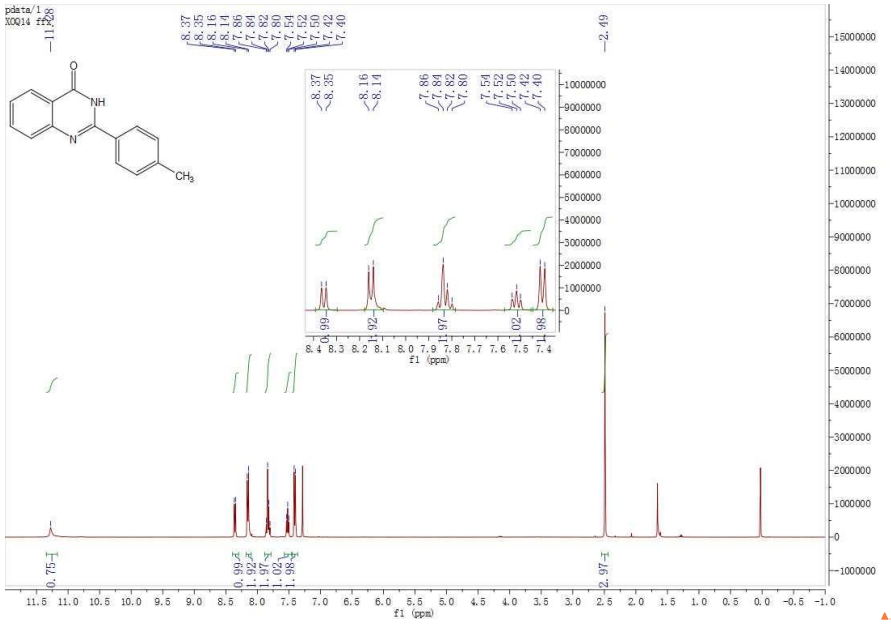

Figure S8

~~Fig. S5.~~ ^{1}H NMR for compound 4 (4ad)2-(*p*-tolyl)quinazolin-4(3H)-one.

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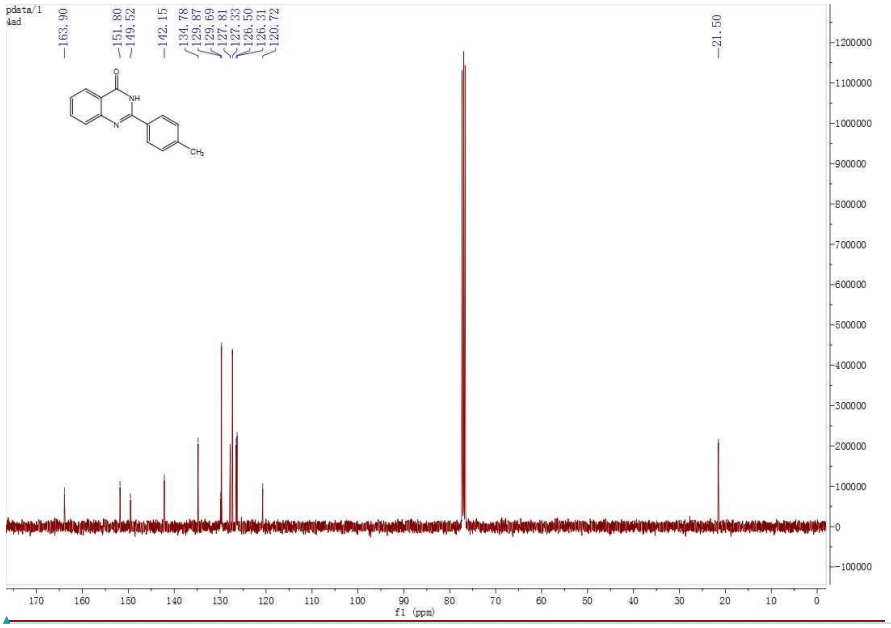

Figure S9 ^{13}C NMR for compound 4 (4ad) 2-(*p*-tolyl)quinazolin-4(3H)-one.

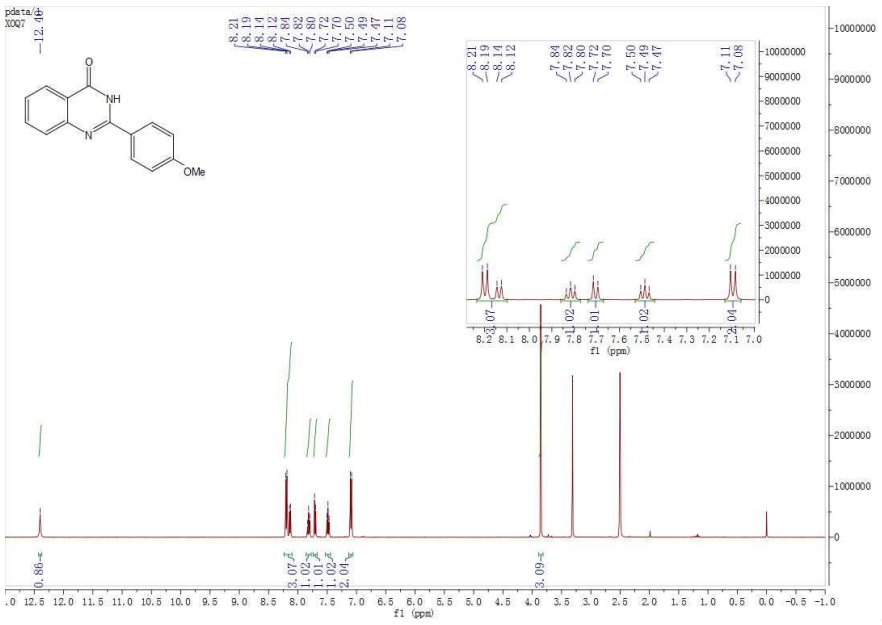

Figure S10

~~Fig. S6.~~ ^{1}H NMR for compound 5 (4ae)2-(4-methoxyphenyl)quinazolin-4(3H)-one.

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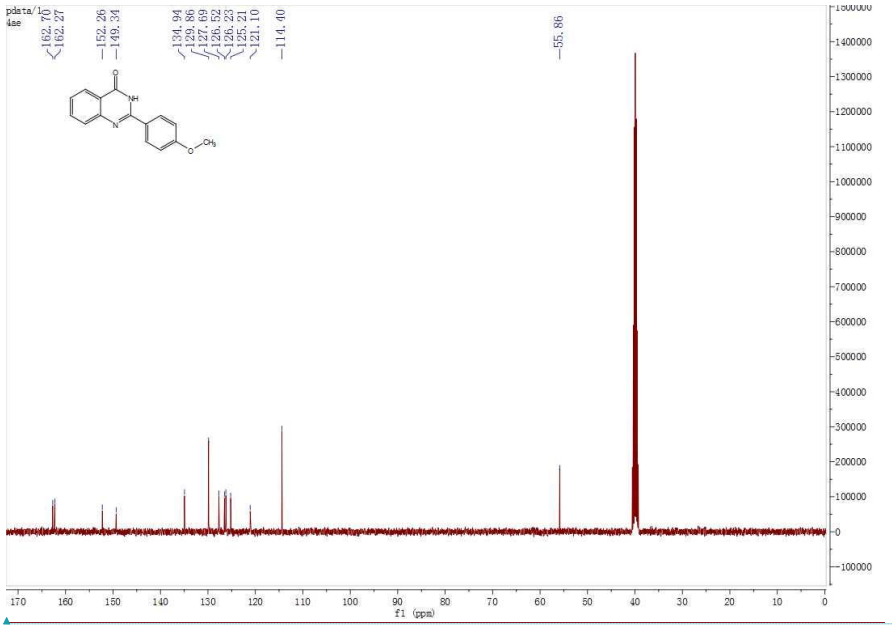

Figure S11 ^{13}CNMR for compound 5 (4ae) 2-(4-methoxyphenyl)quinazolin-4(3H)-one.

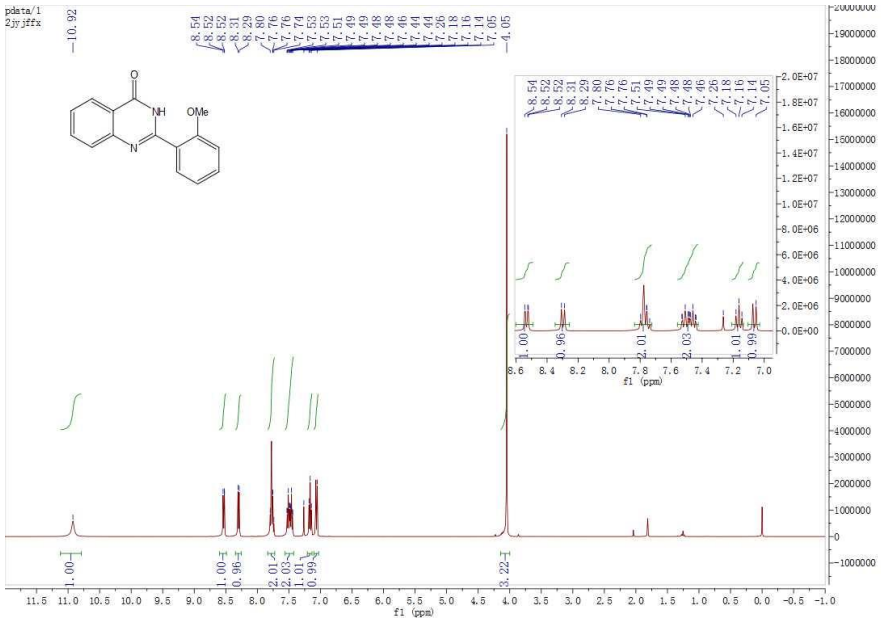

Figure S12

~~Fig. S7.~~ ^{1}H NMR for compound 6 (4af)2-(2-methoxyphenyl)quinazolin-4(3H)-one.

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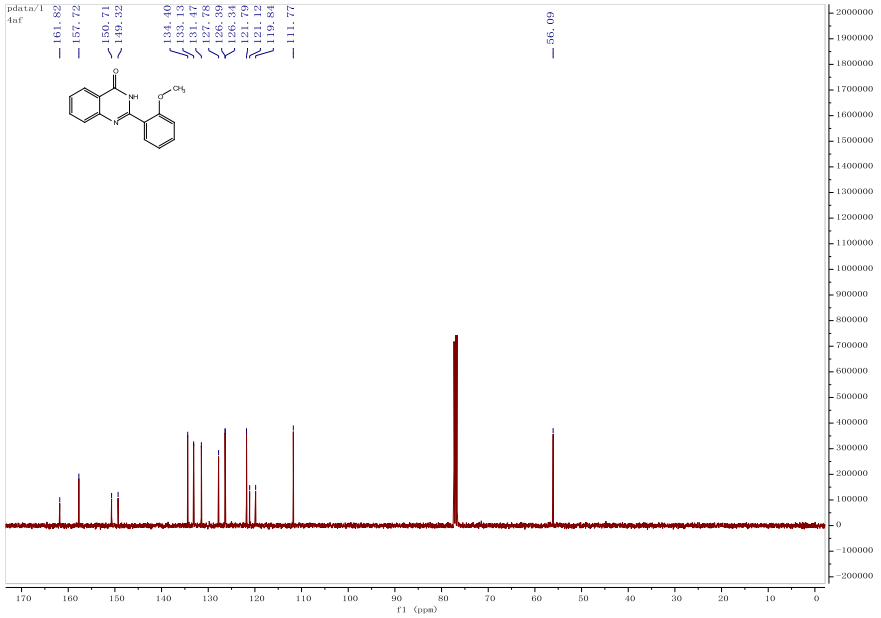

Figure S13 ^{13}C NMR for compound 6 (4af) 2-(2-methoxyphenyl)quinazolin-4(3H)-one.

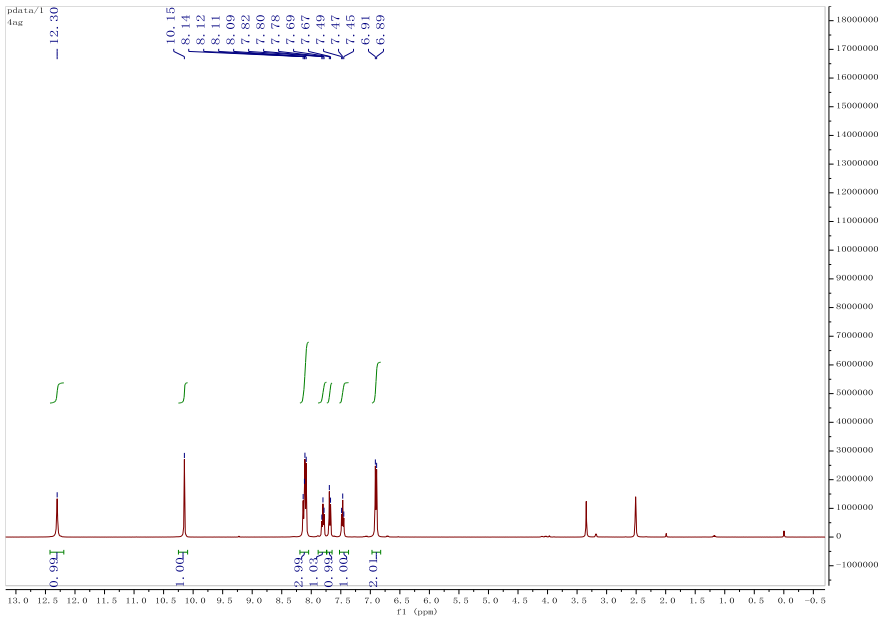

Figure S14

Fig. S8. ^{1}H NMR for compound 7 (4ag)2-(4-hydroxyphenyl)quinazolin-4(3H)-one.

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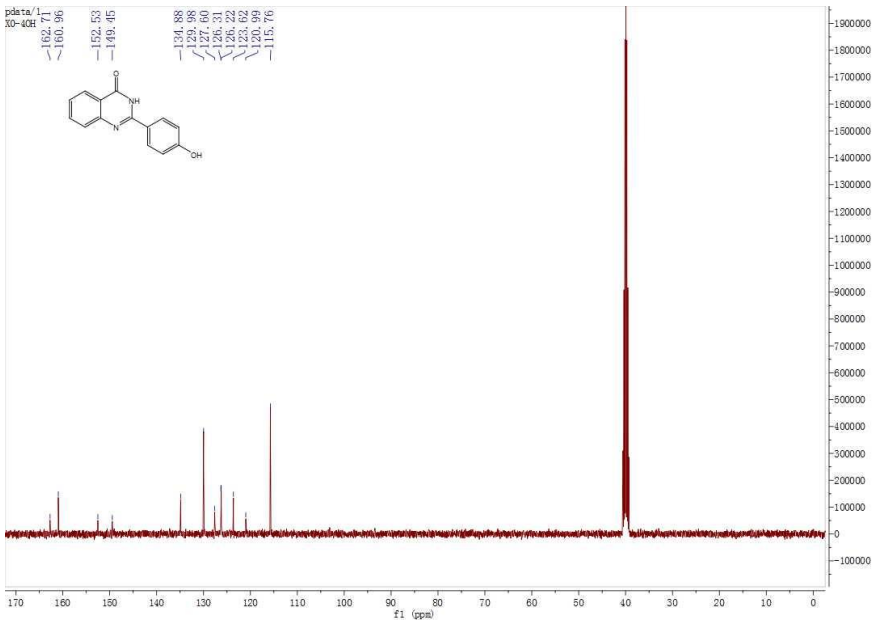

Figure S15

~~Fig. S8.~~ ^{13}C NMR for compound 7 (4ag) 2-(4-hydroxyphenyl)quinazolin-4(3H)-one.

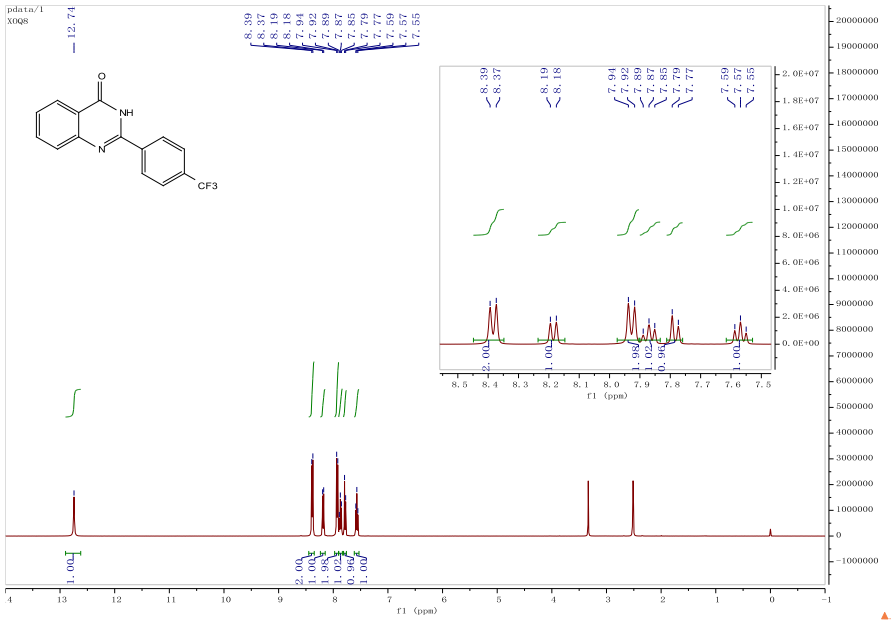

Figure S16

~~Fig. S9.~~ ^{1}H NMR for compound 8 (4ai)2-(4-(trifluoromethyl)phenyl)quinazolin-4(3H)-one.

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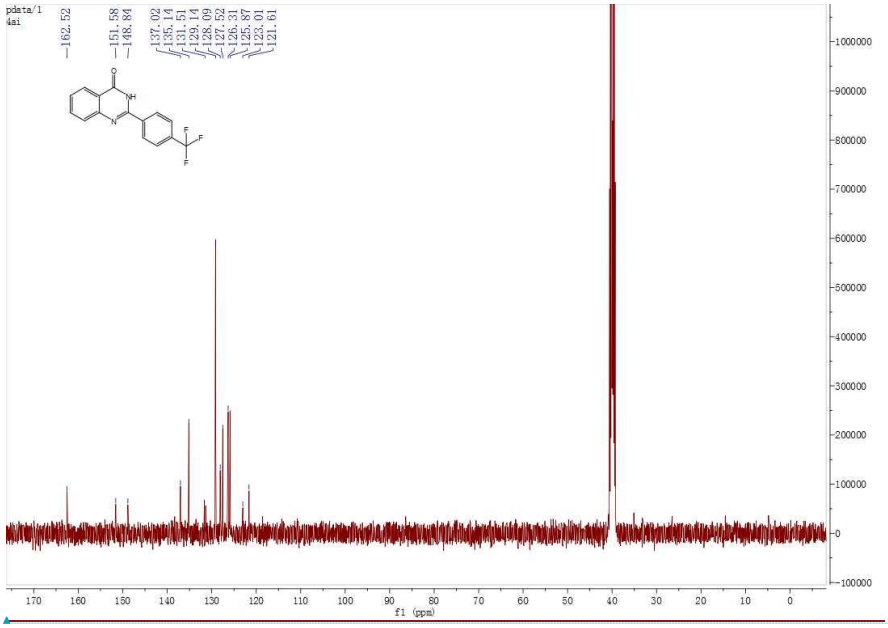

Figure S17 ^{13}C NMR for compound 8 (4ai) 2-(4-(trifluoromethyl)phenyl)quinazolin-4(3H)-one.

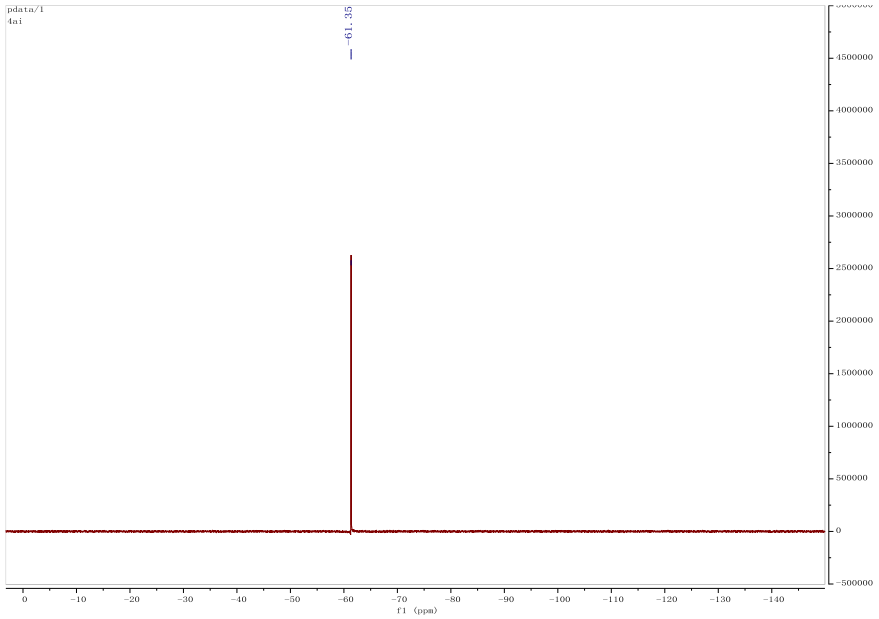

Figure S 18 ^{19}F NMR for compound 8 (4ai) 2-(4-(trifluoromethyl)phenyl)quinazolin-4(3H)-one.

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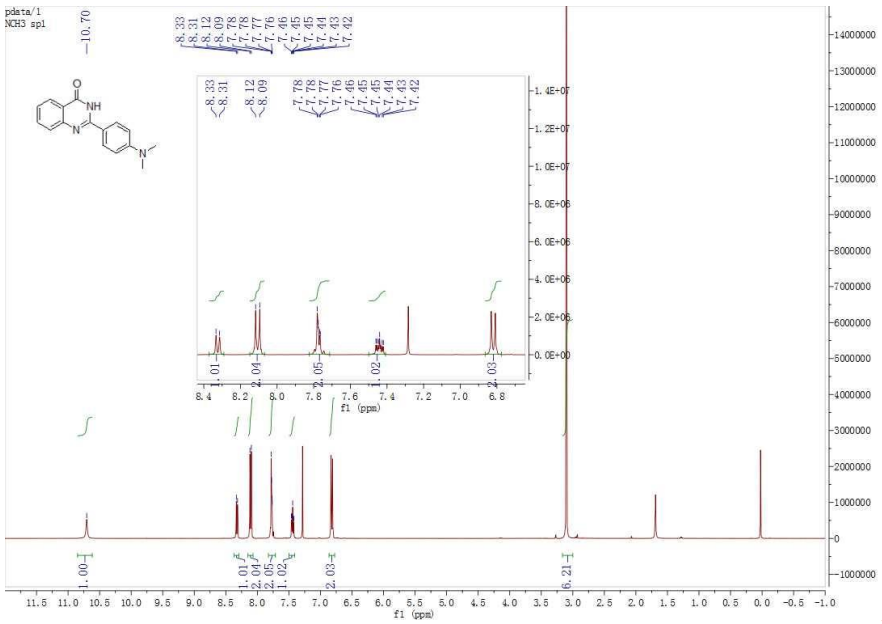

Figure S19

~~Fig. S10.~~[1]H NMR for compound 9 (4aj)2-(4-(dimethylamino)phenyl)quinazolin-4(3H)-one.

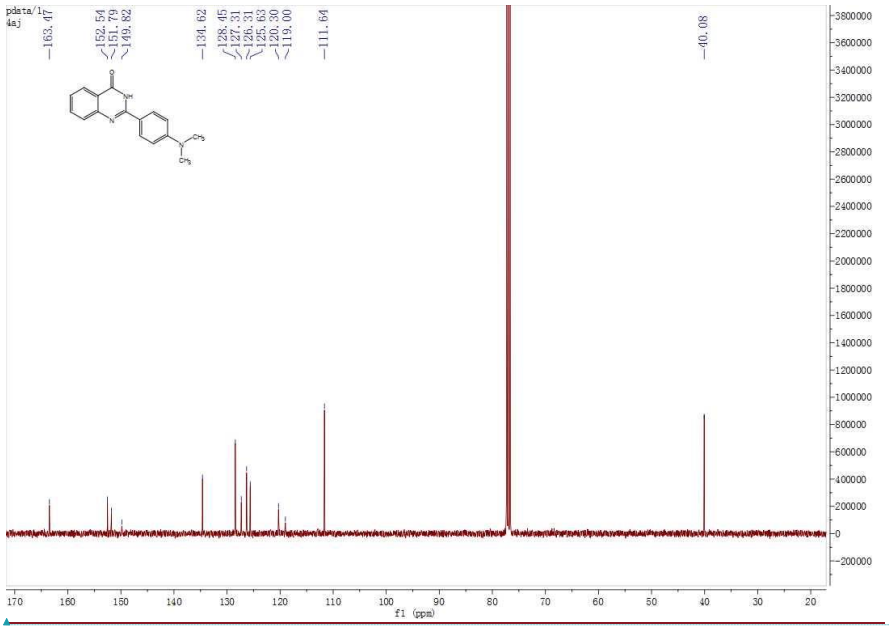

Figure S20[13]C NMR for compound 9 (4aj) 2-(4-(dimethylamino)phenyl)quinazolin-4(3H)-one.

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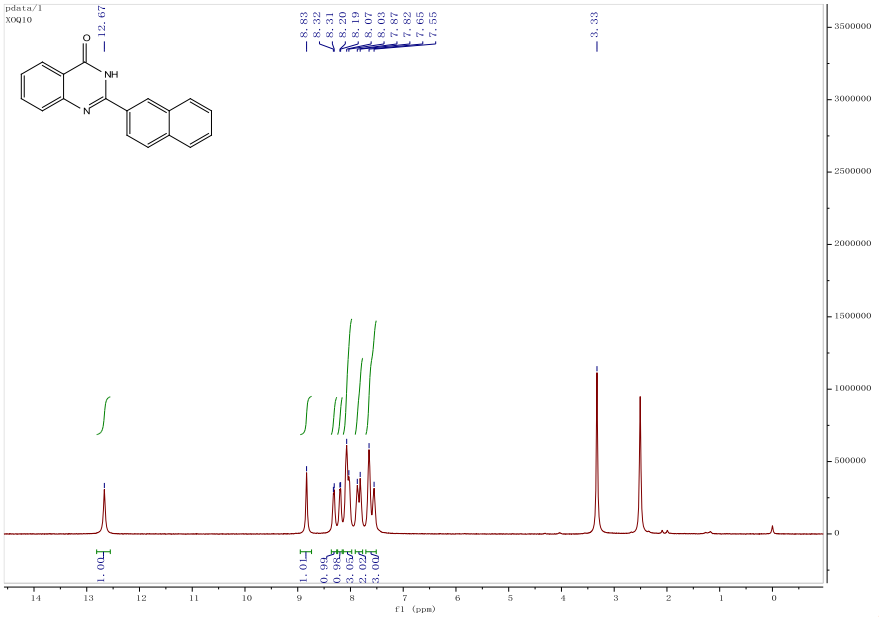

Figure S21

Fig. S11. ^{1}H NMR for compound 10 (4ak)2-(naphthalen-2-yl)quinazolin-4(3H)-one.

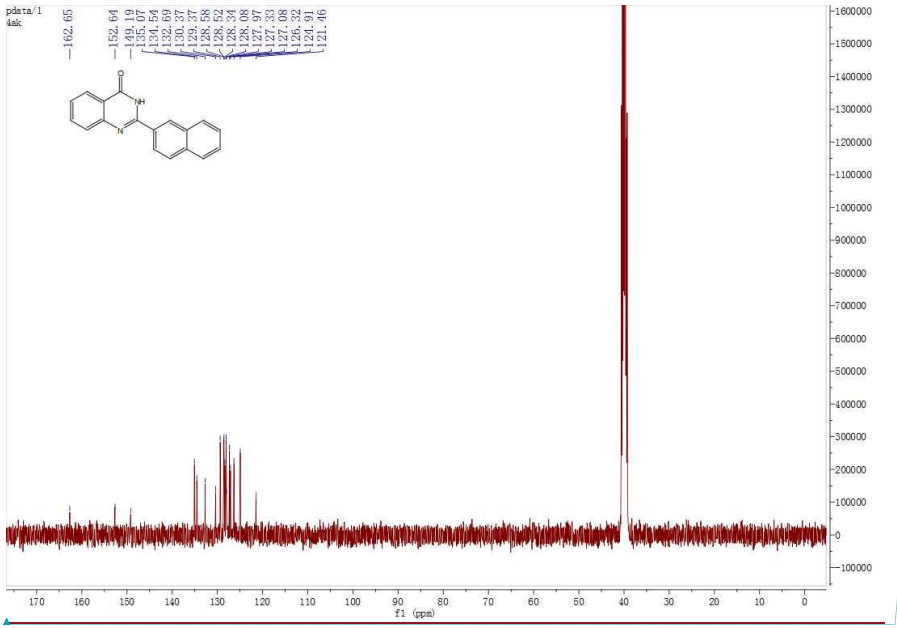

Figure S22 ^{13}C NMR for compound 10 (4ak) 2-(naphthalen-2-yl)quinazolin-4(3H)-one.

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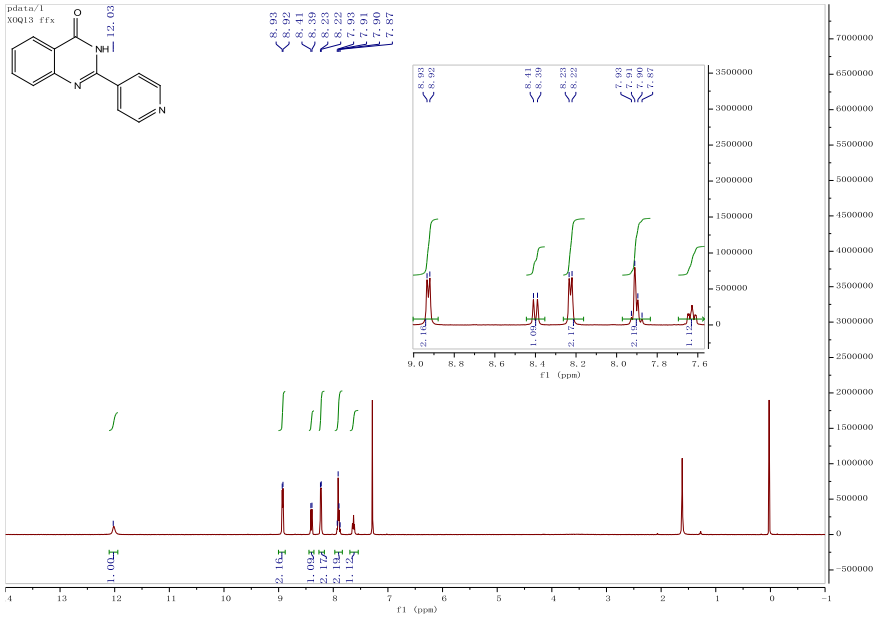

Figure S23

~~Fig. S12.~~ ^{1}H NMR for compound 11 (4al)2-(pyridin-4-yl)quinazolin-4(3H)-one.

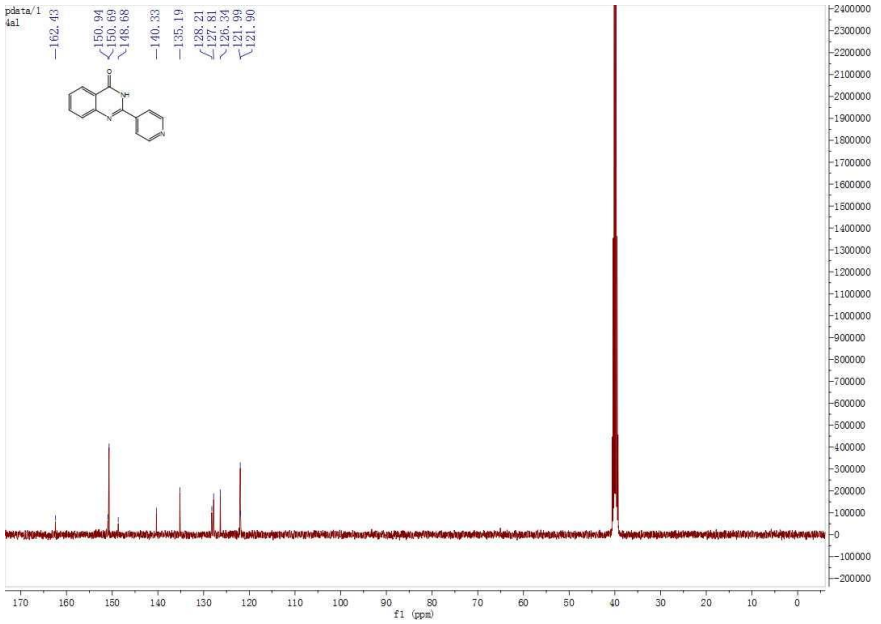

Figure S24~~Fig. S12.~~ ^{13}C NMR for compound 11 (4al) 2-(pyridin-4-yl)quinazolin-4(3H)-one.

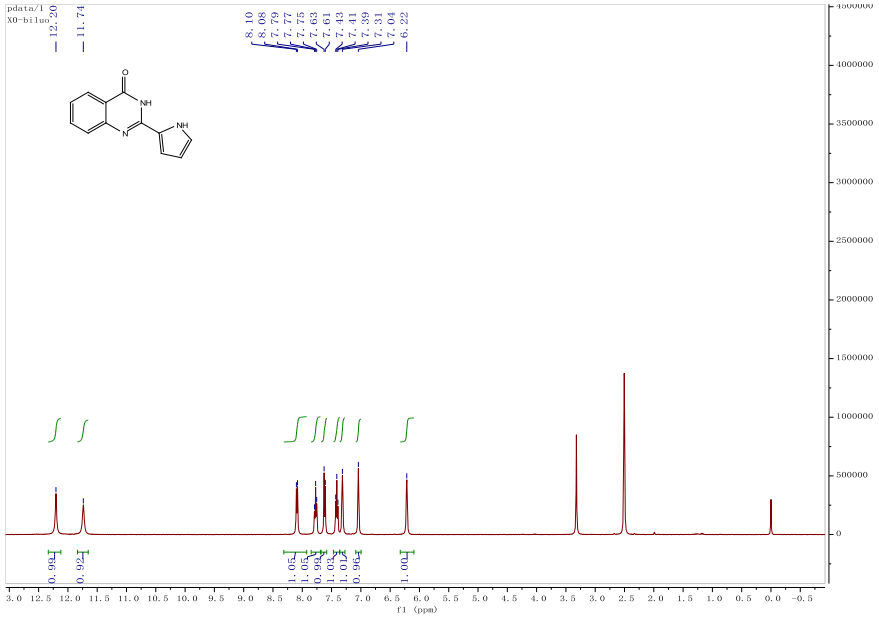

Figure S25

Fig. S13. ^{1}H NMR for compound 12 (4ap)2-(1H-pyrrol-2-yl)quinazolin-4(3H)-one.

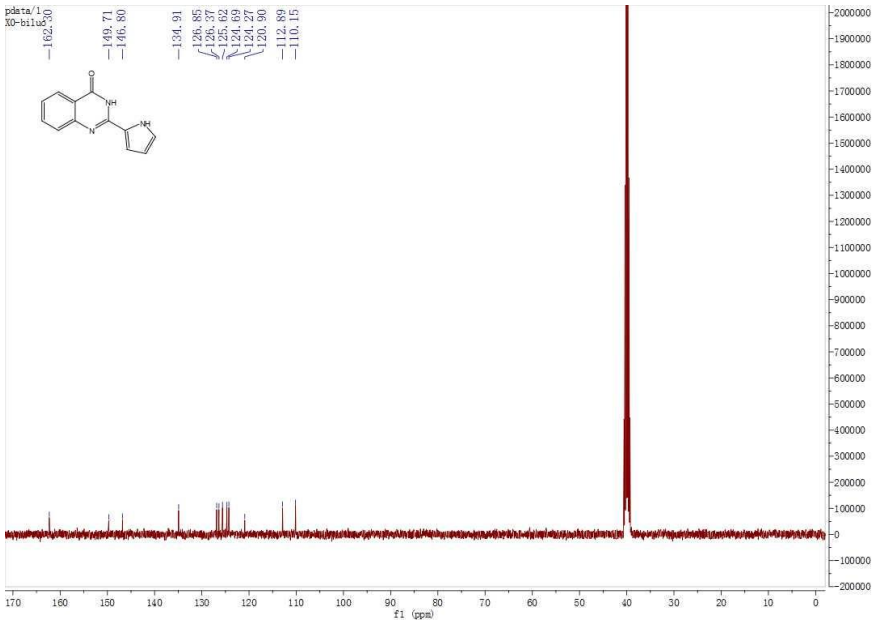

Figure S26 ^{13}C NMR for compound 12 (4ap) 2-(1H-pyrrol-2-yl)quinazolin-4(3H)-one.

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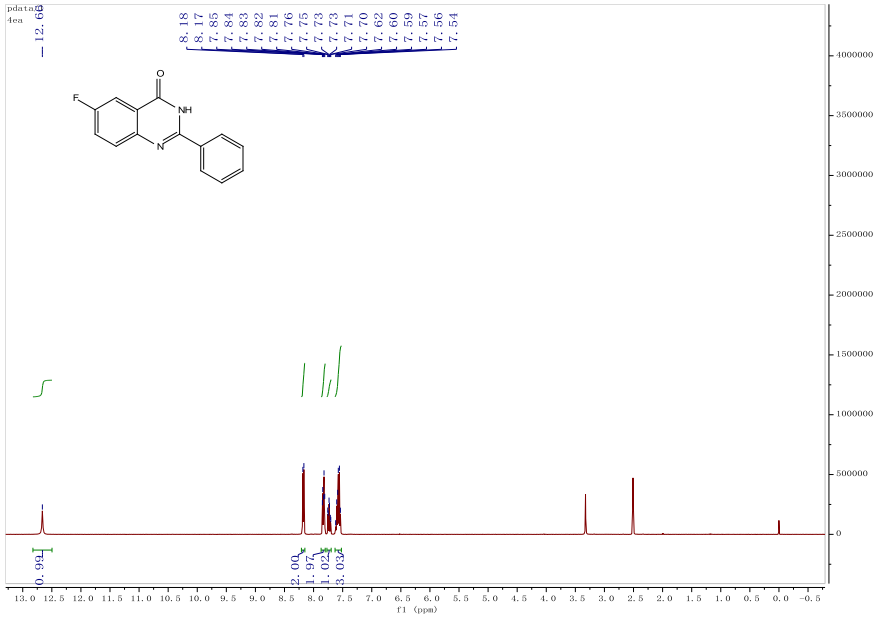

Figure S27

~~Fig. S14.~~ ^{1}H NMR for compound 13 (4ea)6-fluoro-2-phenylquinazolin-4(3H)-one.

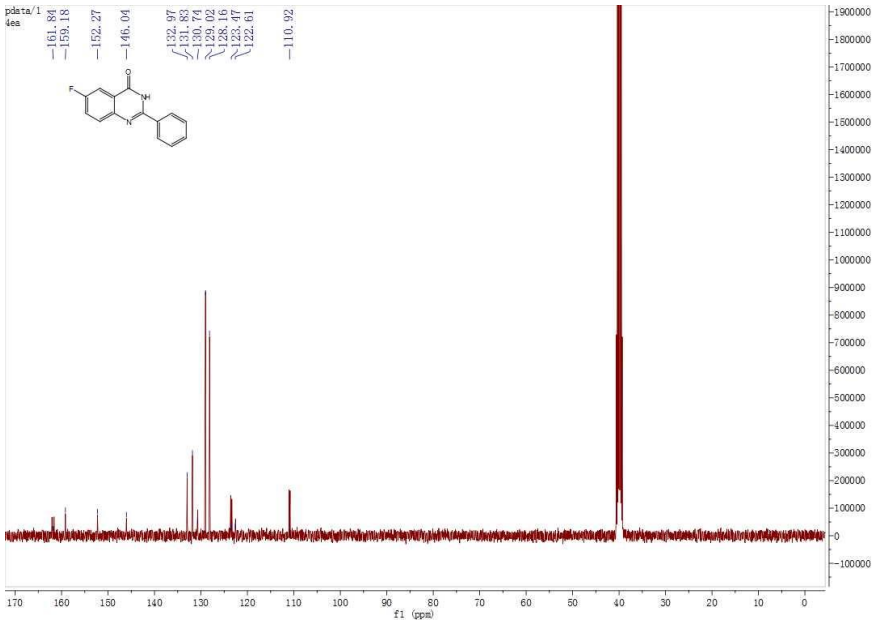

Figure S28~~Fig. S14.~~ ^{13}C NMR for compound 13 (4ea) 6-fluoro-2-phenylquinazolin-4(3H)-one.

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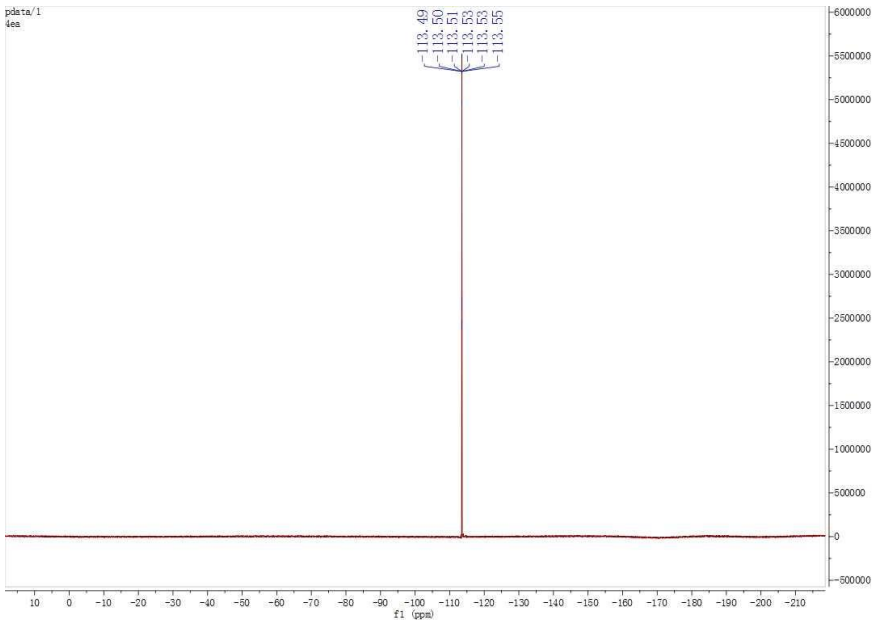

Figure S 29[19]F NMR for compound 13 (4ea) 6-fluoro-2-phenylquinazolin-4(3H)-one.

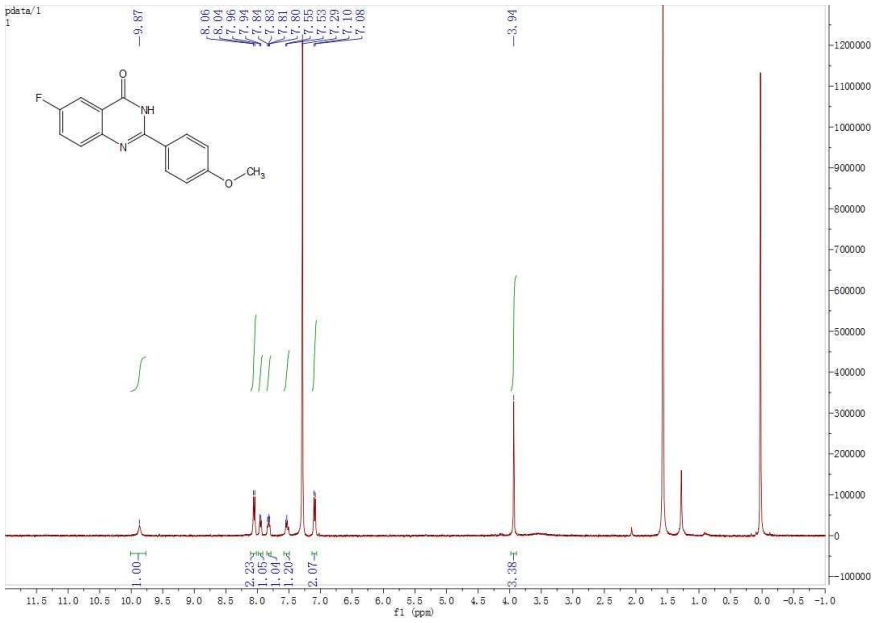

Figure S30

Fig. S15.[1]H NMR for compound 14 (4ee)6-fluoro-2-(4-methoxyphenyl)quinazolin-4(3H)-one.

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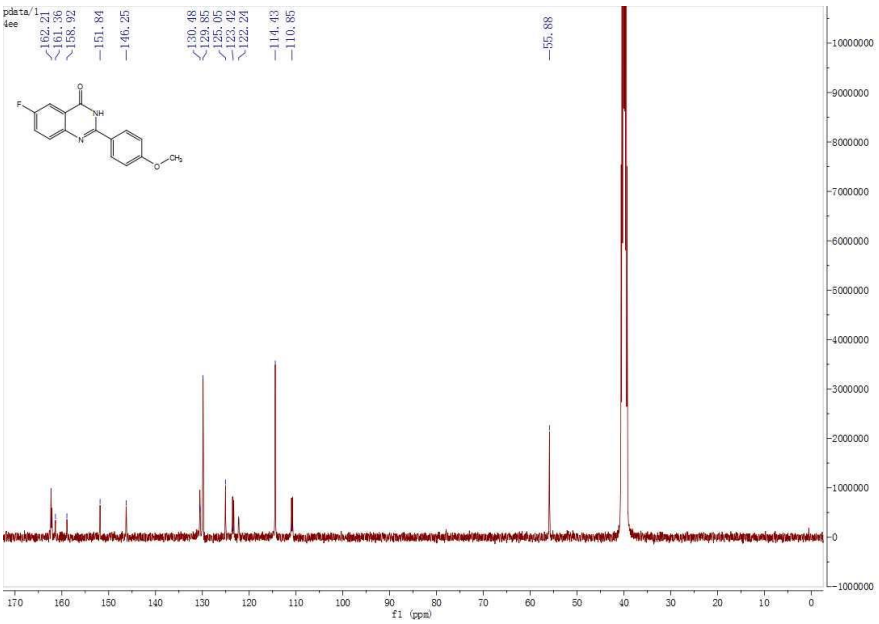

Figure S 31^{13}C NMR for compound 14 (4ee) 6-fluoro-2-(4-methoxyphenyl)quinazolin-4(3H)-one.

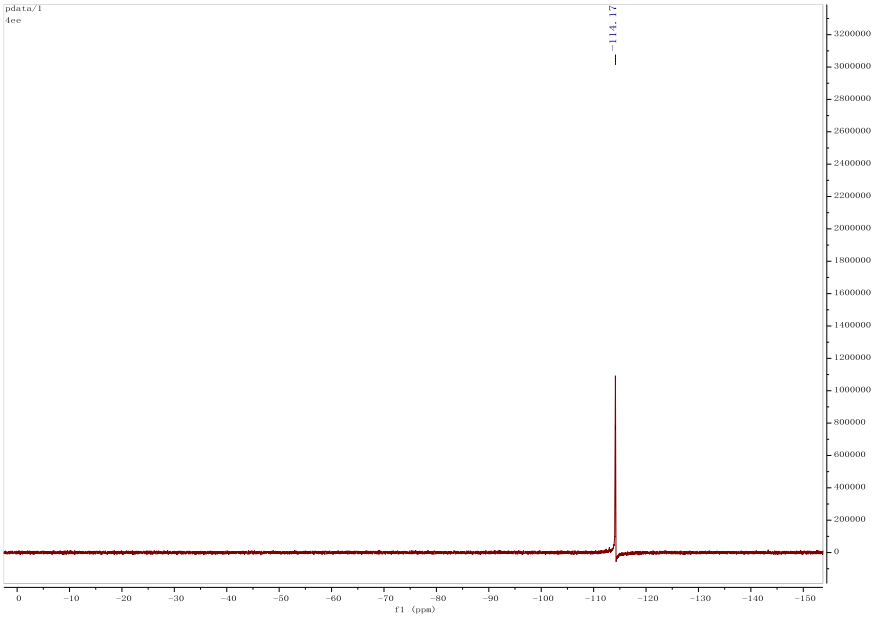

Figure S 32^{19}F NMR for compound 14 (4ee) 6-fluoro-2-(4-methoxyphenyl)quinazolin-4(3H)-one.

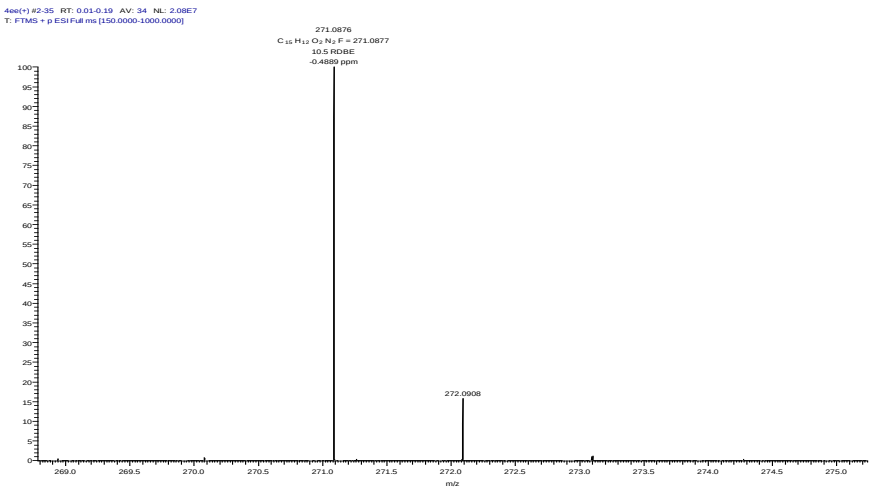

Figure S 33HRMS for compound 14 (4ee) 6-fluoro-2-(4-methoxyphenyl)quinazolin-4(3H)-one.

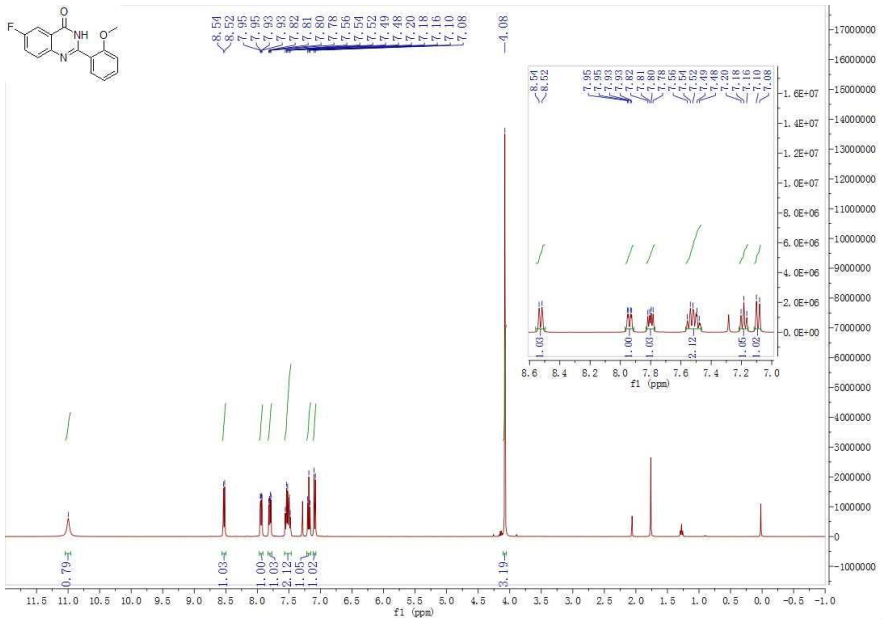

Figure S34

~~Fig. S16.~~[1]H NMR for compound 15 (4ef)6-fluoro-2-(2-methoxyphenyl)quinazolin-4(3H)-one.

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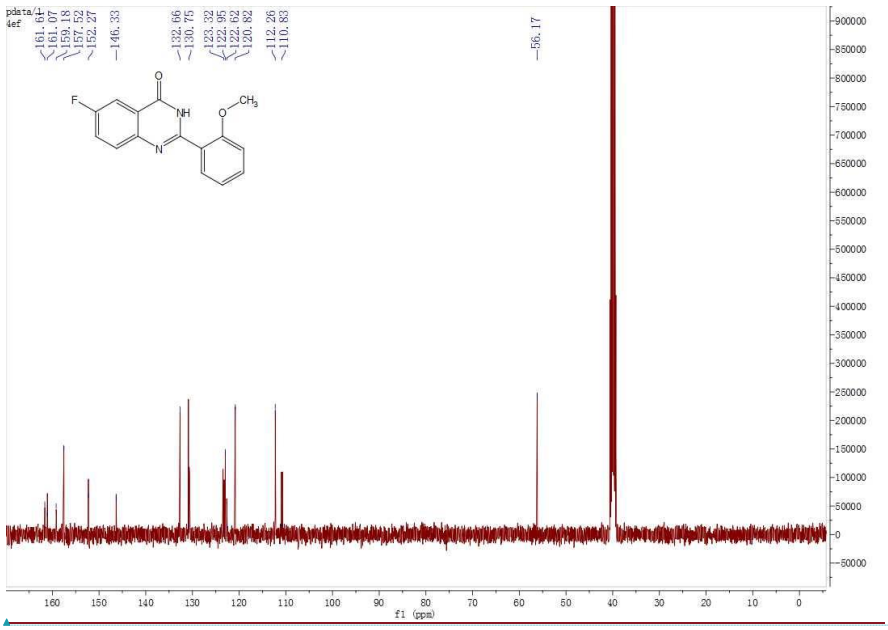

Figure S35 ^{13}C NMR for compound 15 (4ef) 6-fluoro-2-(2-methoxyphenyl)quinazolin-4(3H)-one.

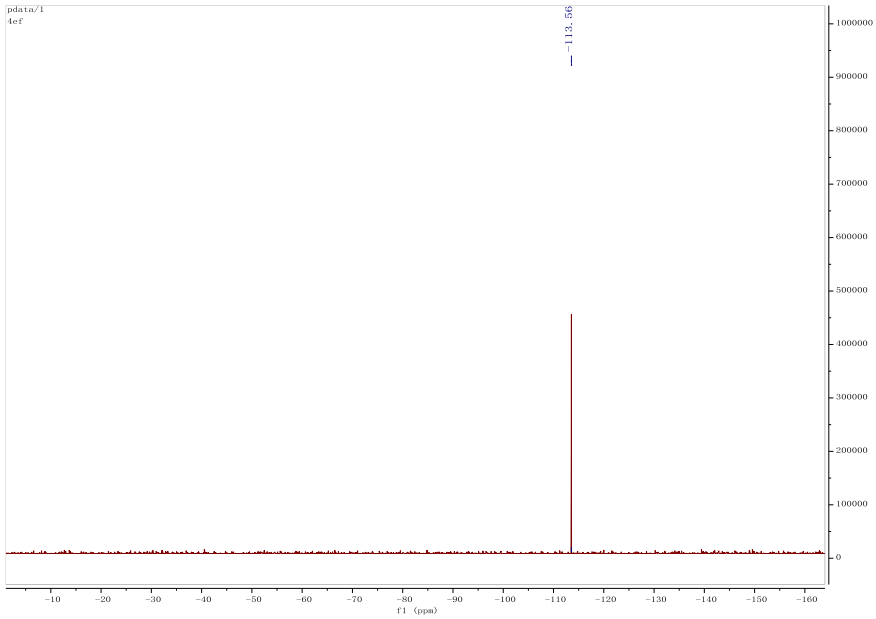

Figure S 36 ^{19}F NMR for compound 15 (4ef) 6-fluoro-2-(2-methoxyphenyl)quinazolin-4(3H)-one.

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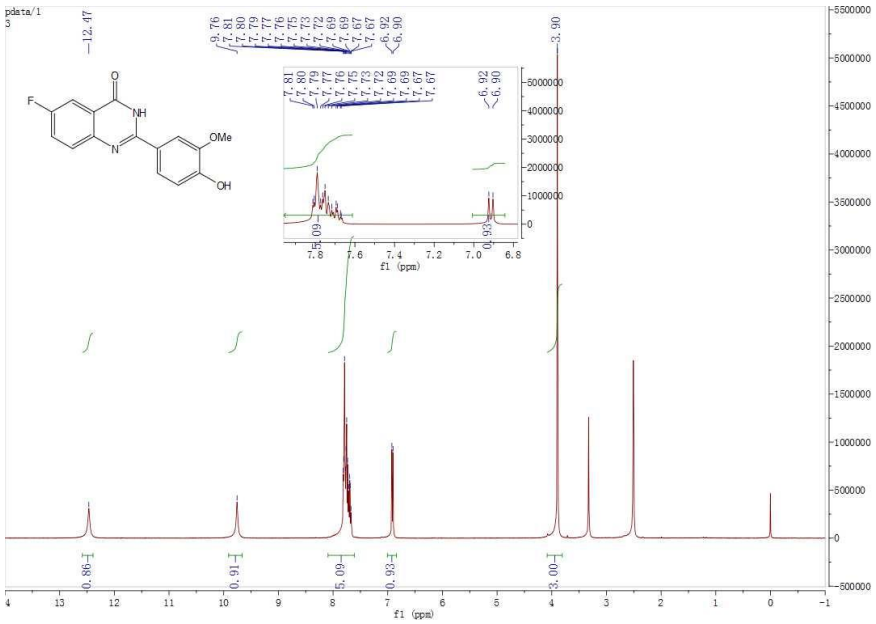

Figure S37

Fig.S17.[1]HNMRforcompound 16 (4eq)6-fluoro-2-(4-hydroxy-3-methoxyphenyl)quinazolin-4(3H)-one.

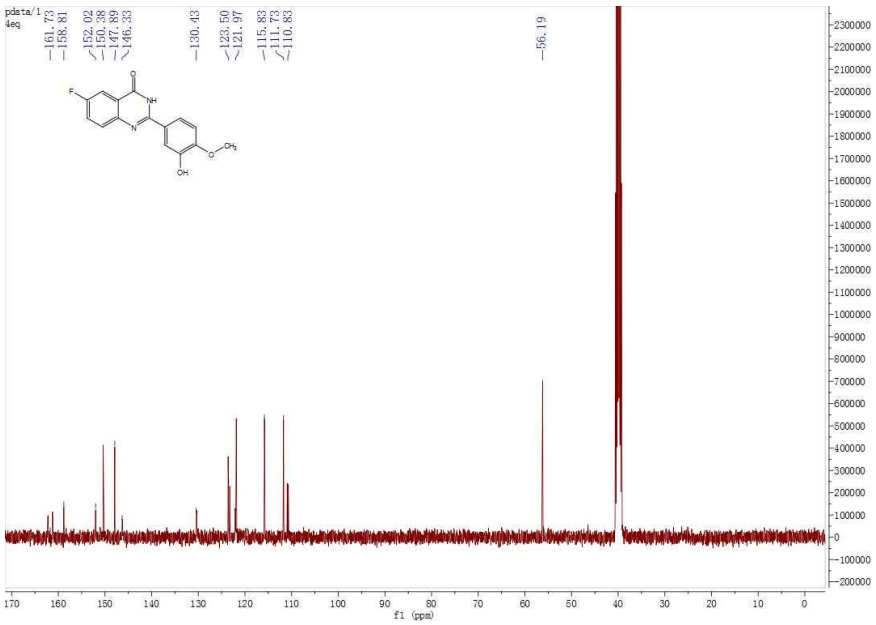

Figure S38Fig.S17.[13]CNMRforcompound 16 (4eq) 6-fluoro-2-(4-hydroxy-3-methoxyphenyl)quinazolin-4(3H)-one.

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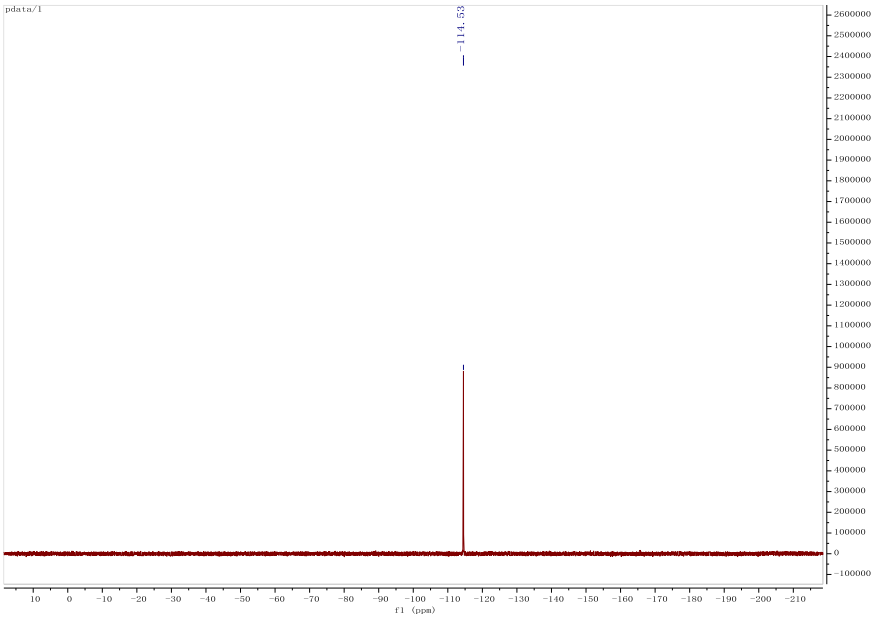

Figure S 39[19]FNMRforcompound 16 (4eq) 6-fluoro-2-(4-hydroxy-3-methoxyphenyl)quinazolin-4(3H)-one.

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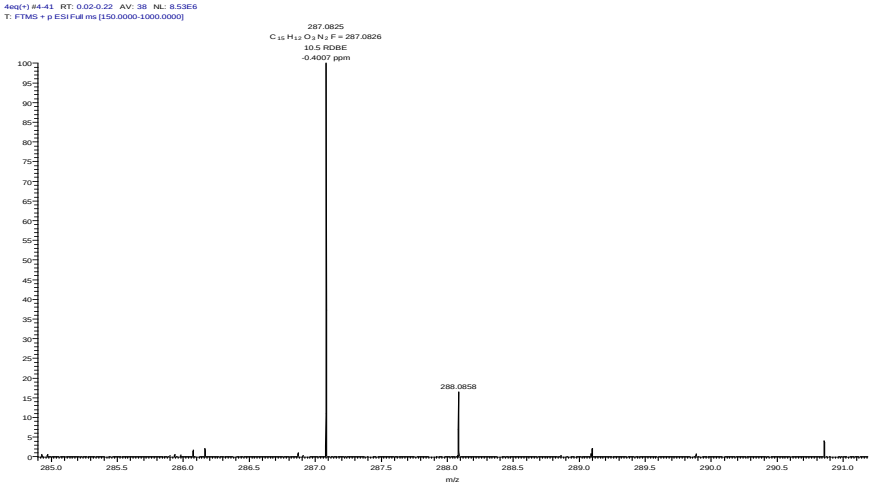

Figure S40HRMSforcompound 16 (4eq) 6-fluoro-2-(4-hydroxy-3-methoxyphenyl)quinazolin-4(3H)-one.

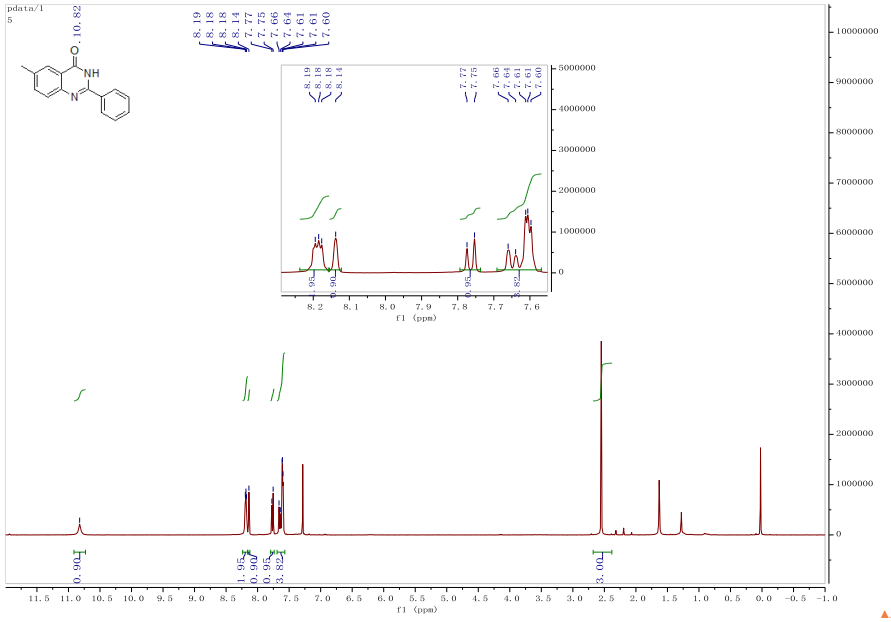

Figure S41

~~Fig.S18.~~ ^{1}HNMRforcompound 17 (4fa)6-methyl-2-phenylquinazolin-4(3H)-one.

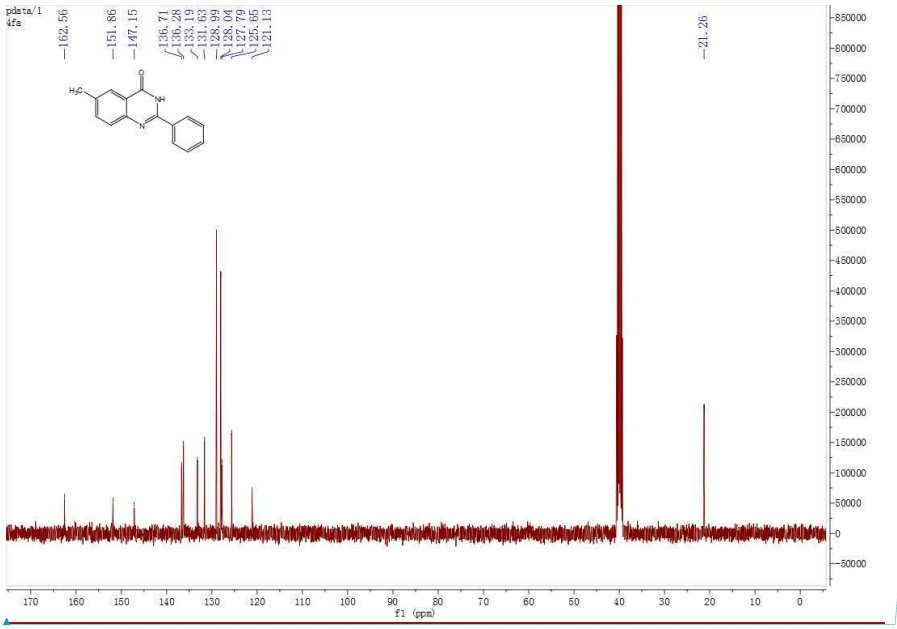

Figure S42^{13}C NMRforcompound 17 (4fa) 6-methyl-2-phenylquinazolin-4(3H)-one.

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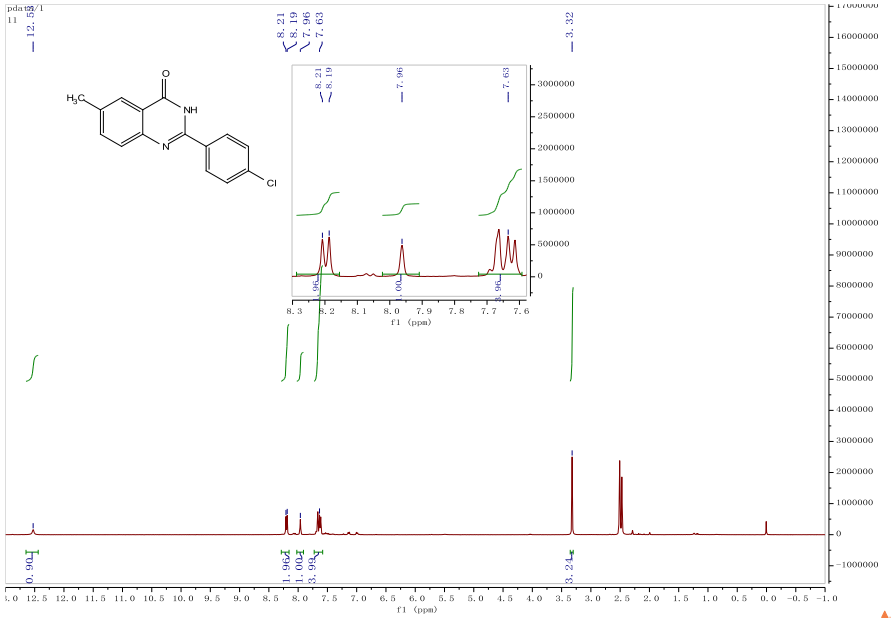

Figure S43

~~Fig. S19.~~ ^{1}H NMR for compound 18 (4fb)2-(4-chlorophenyl)-6-methylquinazolin-4(3H)-one.

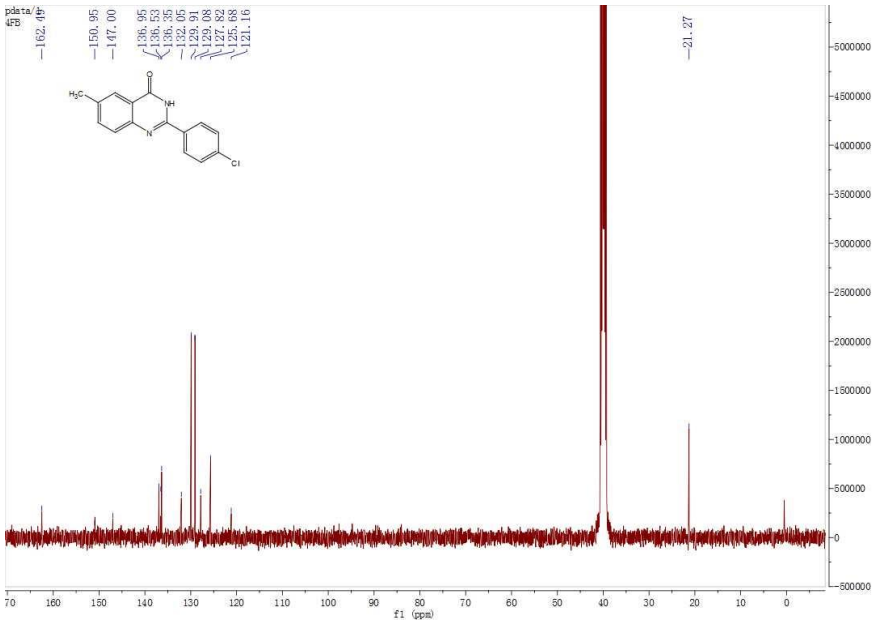

Figure S44~~Fig. S19.~~ ^{13}C NMR for compound 18 (4fb) 2-(4-chlorophenyl)-6-methylquinazolin-4(3H)-one.

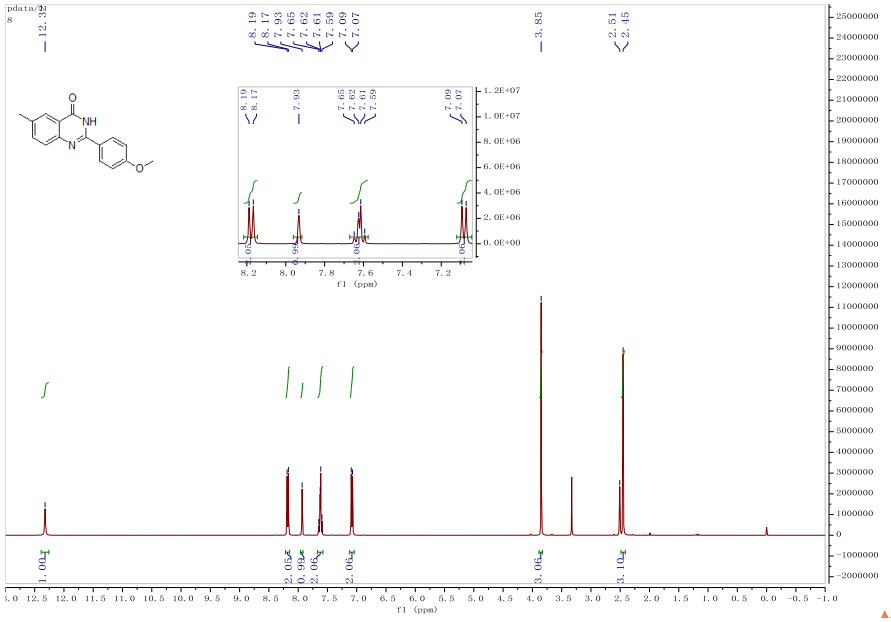

Figure S45

~~Fig. S20.~~[1]H NMR for compound 19 (4fe)2-(4-methoxyphenyl)-6-methylquinazolin-4(3H)-one.

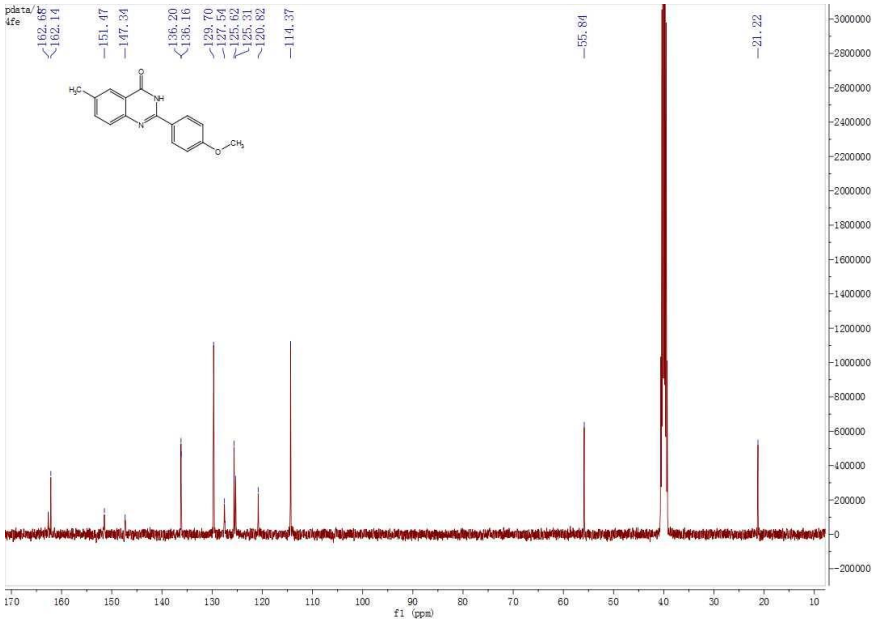

Figure S46~~Fig. S20.~~[13]C NMR for compound 19 (4fe) 2-(4-methoxyphenyl)-6-methylquinazolin-4(3H)-one.

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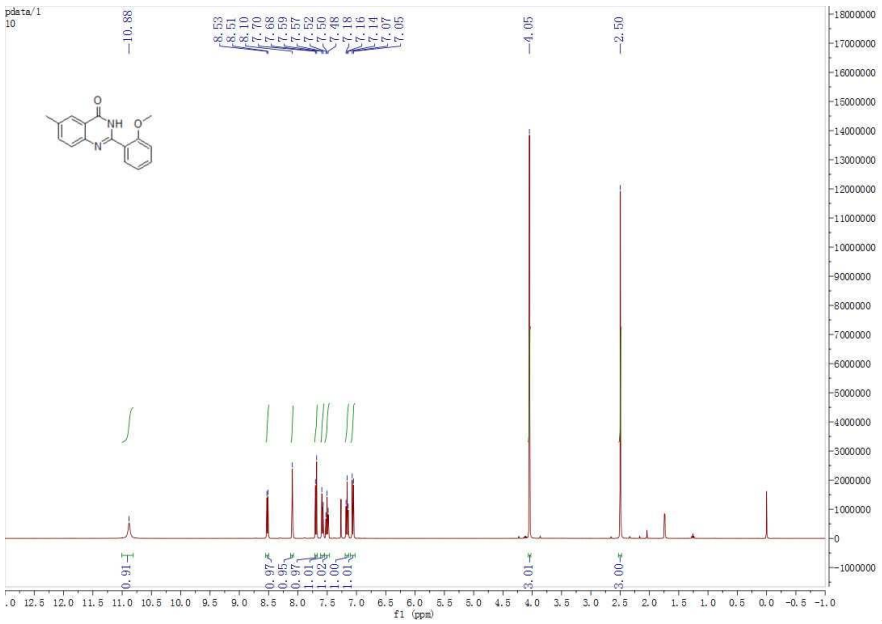

Figure S47

Fig. S21.[1]H NMR for compound 20 (4ff)2-(2-methoxyphenyl)-6-methylquinazolin-4(3H)-one.

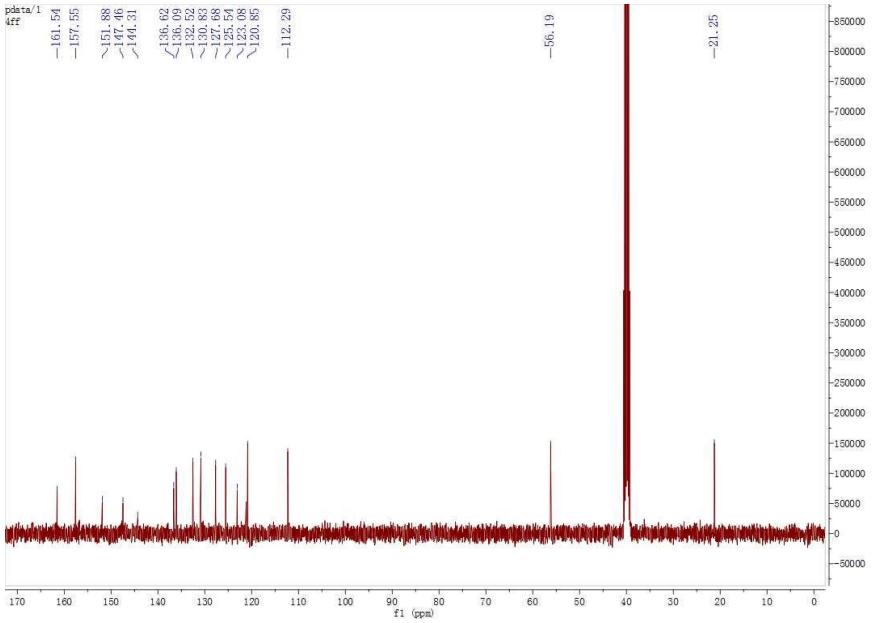

Figure S48Fig. S21.[13]C NMR for compound 20 (4ff) 2-(2-methoxyphenyl)-6-methylquinazolin-4(3H)-one.

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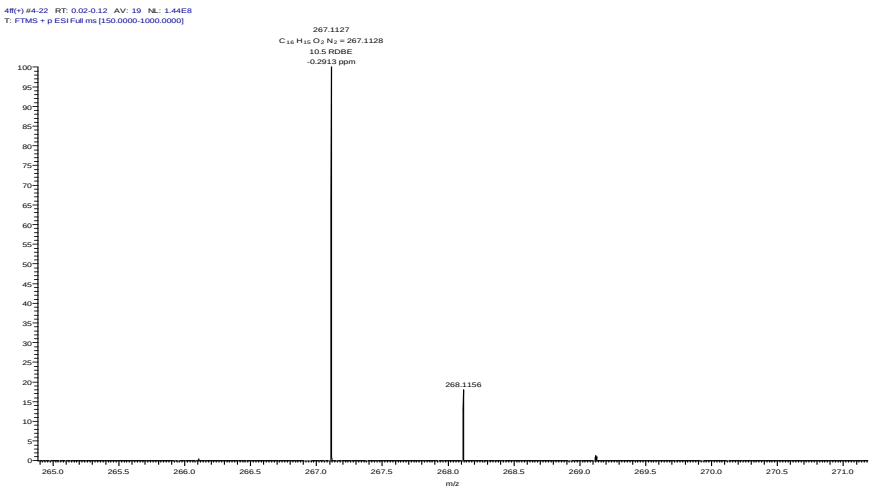

Figure S49HRMSfor compound 20 (4ff) 2-(2-methoxyphenyl)-6-methylquinazolin-4(3H)-one.

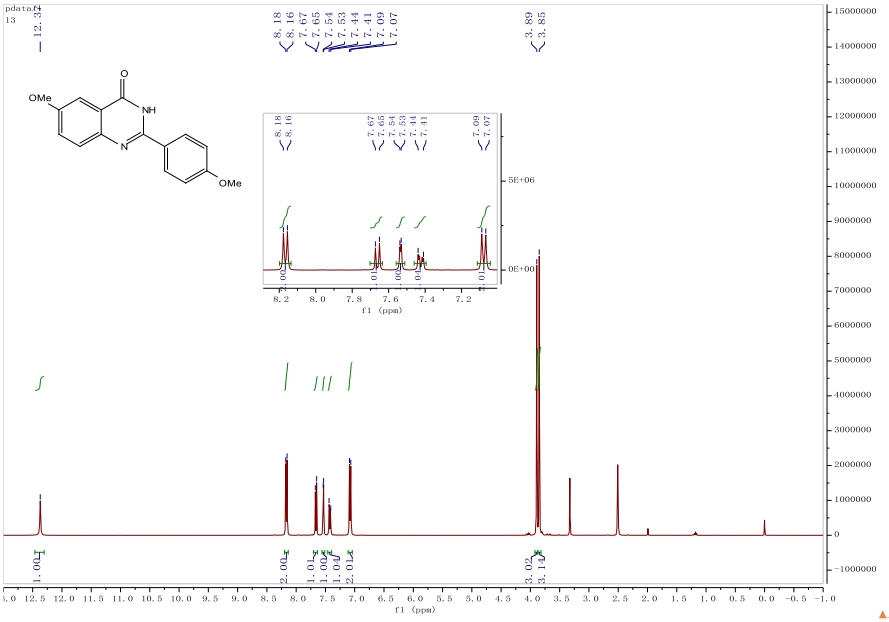

Figure S50

Fig. S22.[1]H NMR for compound 21 (4ge)6-methoxy-2-(4-methoxyphenyl)quinazolin-4(3H)-one.

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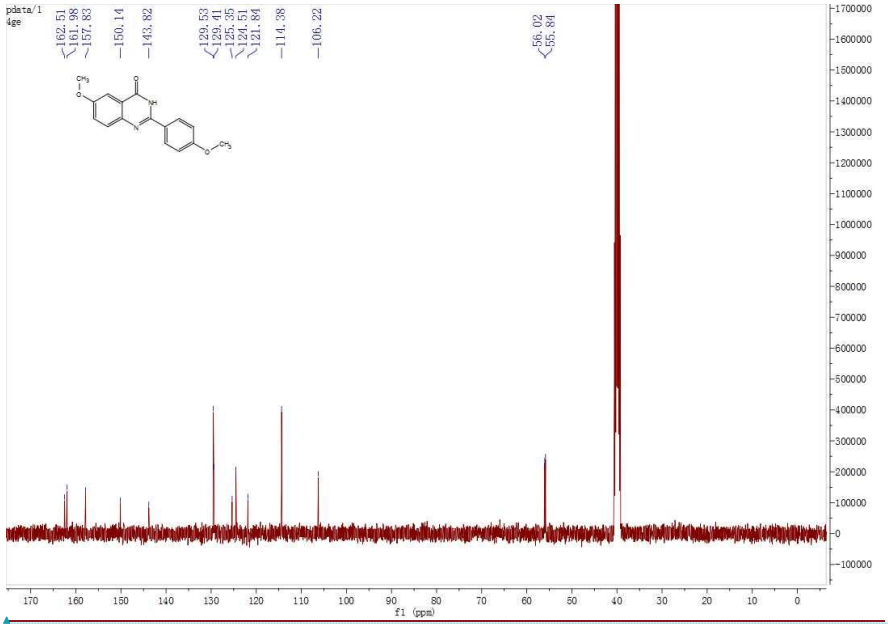

Figure S51 ^{13}C NMR for compound 21 (4ge) 6-methoxy-2-(4-methoxyphenyl)quinazolin-4(3H)-one.

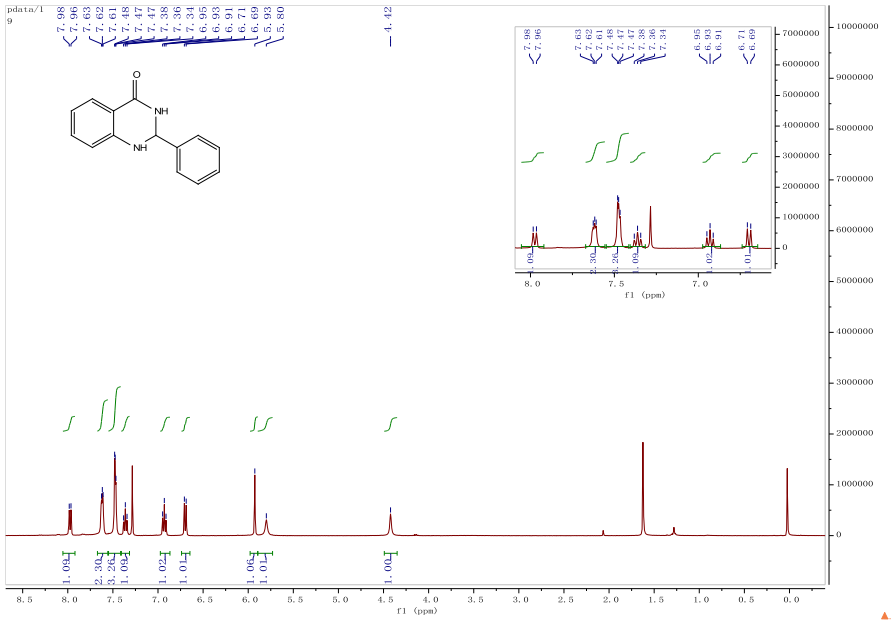

Figure S52

~~Fig. S23.~~ ^{1}H NMR for compound 22 (5aa)2-phenyl-2,3-dihydroquinazolin-4(1H)-one.

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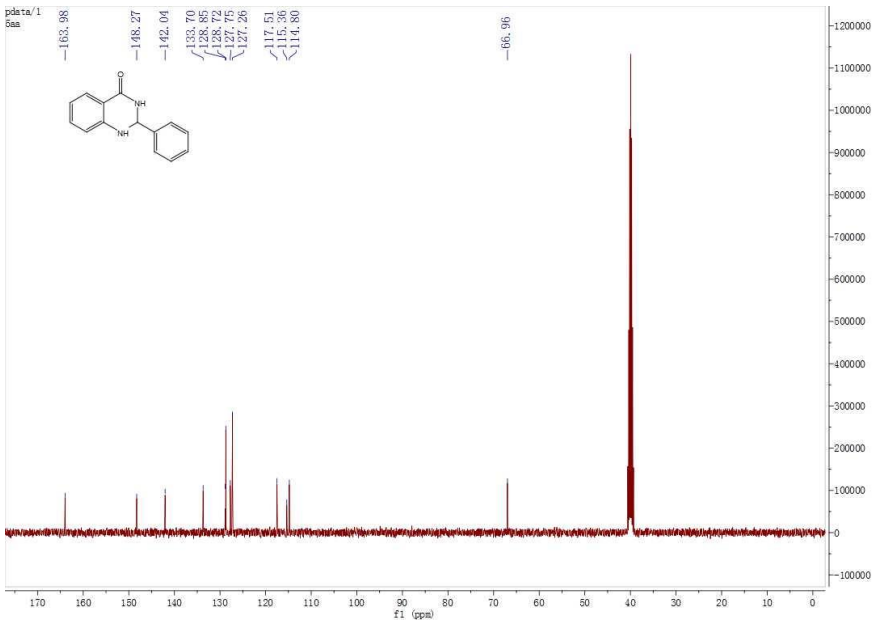

Figure S53 ^{13}C NMR for compound 22 (5aa) 2-phenyl-2,3-dihydroquinazolin-4(1H)-one.

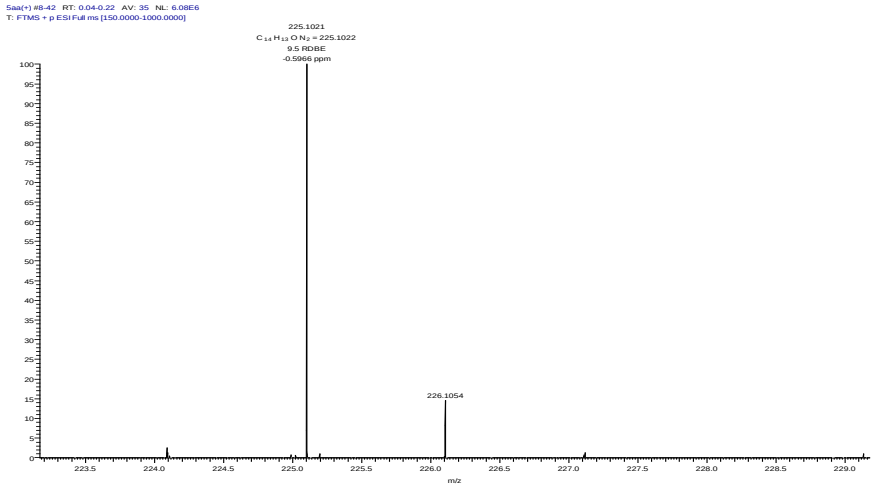

Figure S54HRMS for compound 22 (5aa) 2-phenyl-2,3-dihydroquinazolin-4(1H)-one.

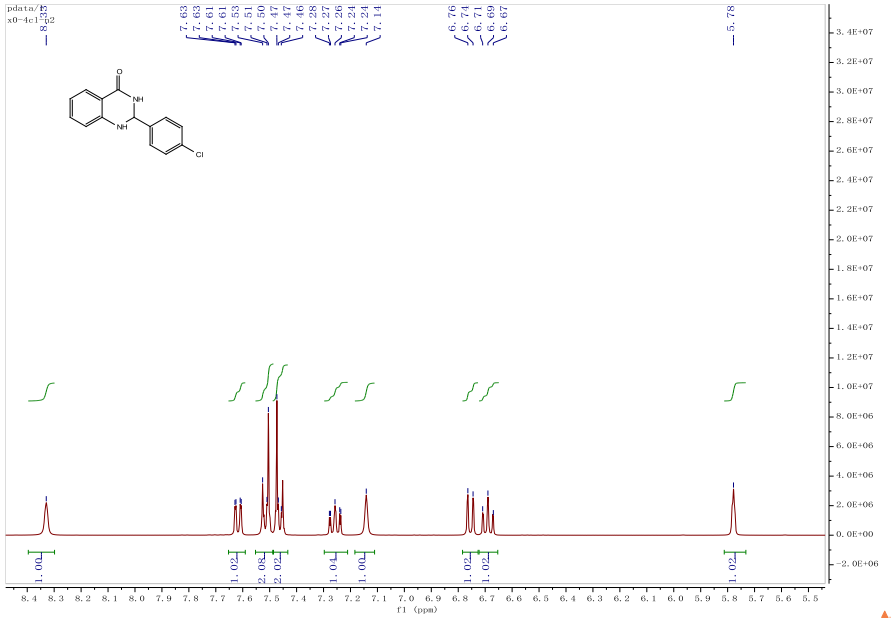

Figure S55

~~Fig. S24.~~[1]H NMR for compound 23 (5ab)2-(4-chlorophenyl)-2,3-dihydroquinazolin-4(1H)-one.

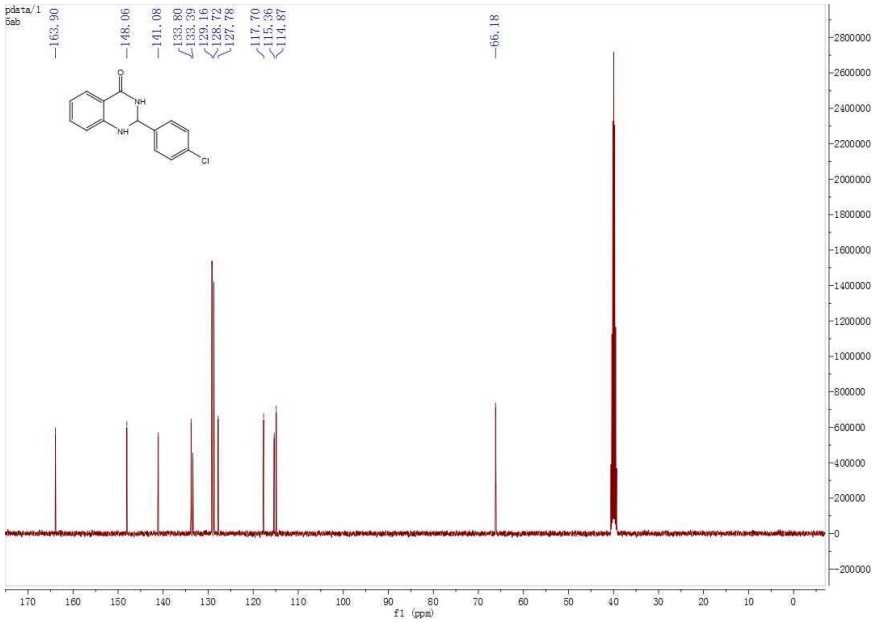

Figure S56 ~~Fig. S24.~~[13]C NMR for compound 23 (5ab) 2-(4-chlorophenyl)-2,3-dihydroquinazolin-4(1H)-one.

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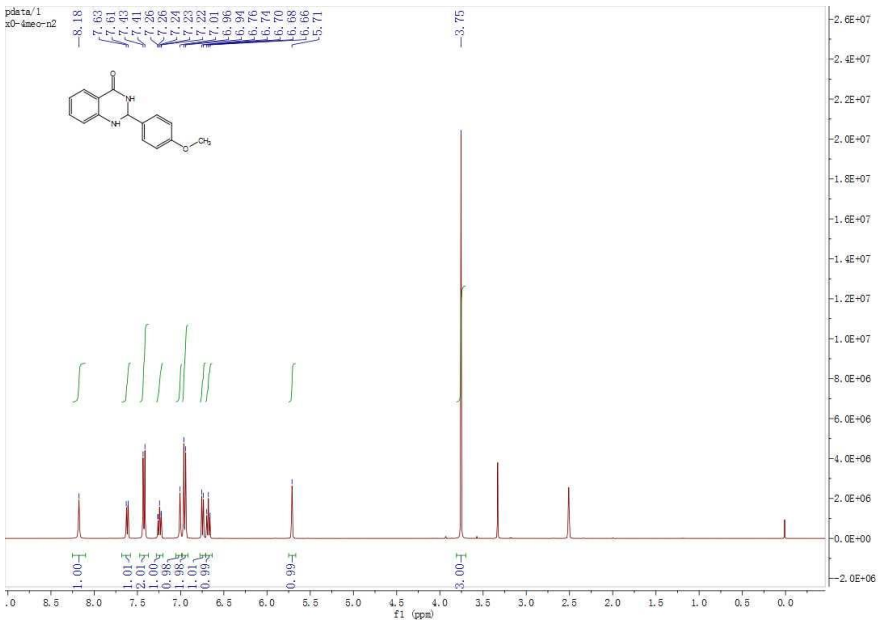

Figure S57

~~Fig. S25.~~ ^{1}H NMR for compound 24 (5ae)2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

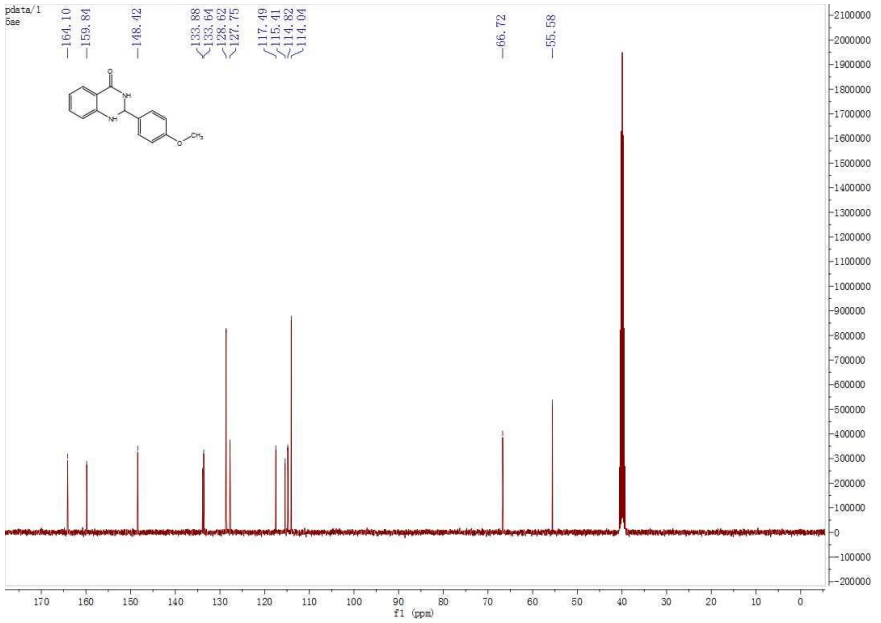

Figure S58 ~~Fig. S25.~~ ^{13}C NMR for compound 24 (5ae) 2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

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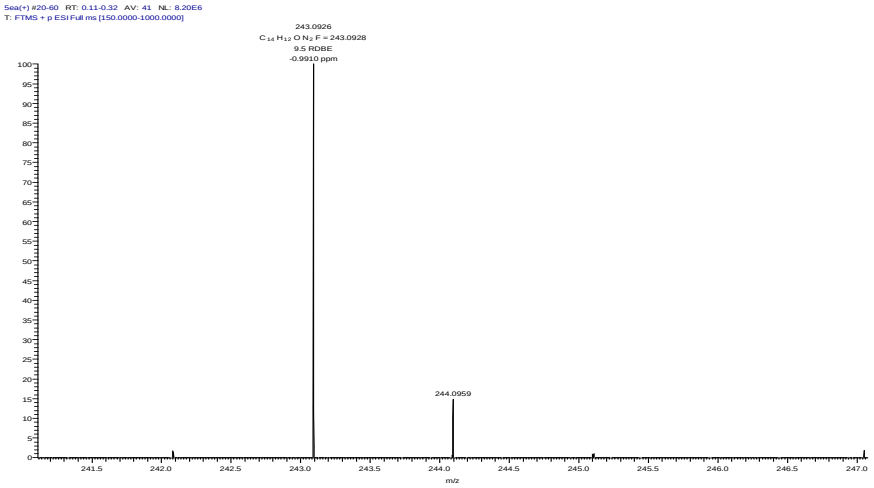

Figure S59HRMS for compound 24 (5ae) 2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

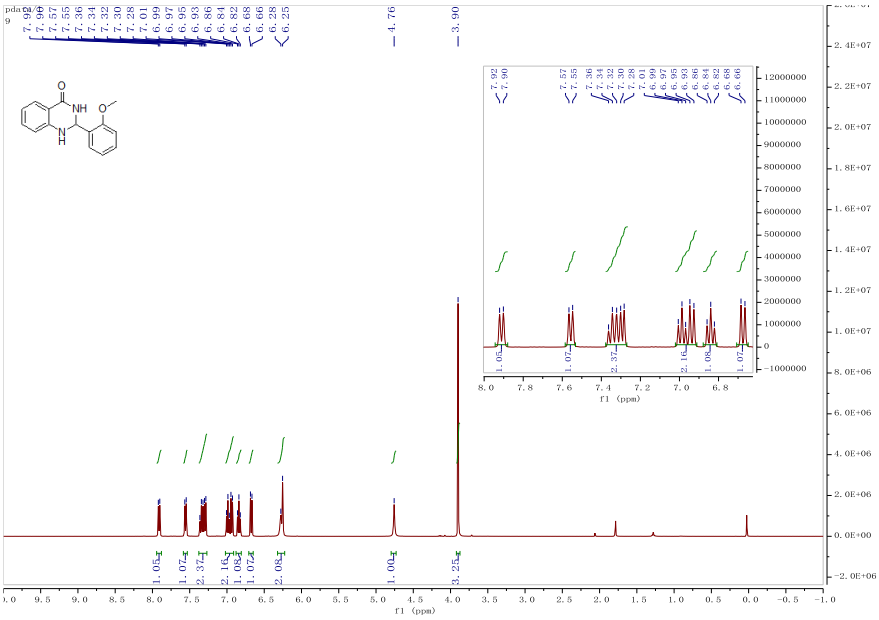

Figure S60

Fig. S26.^{1}H NMR for compound 25 (5af)2-(2-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

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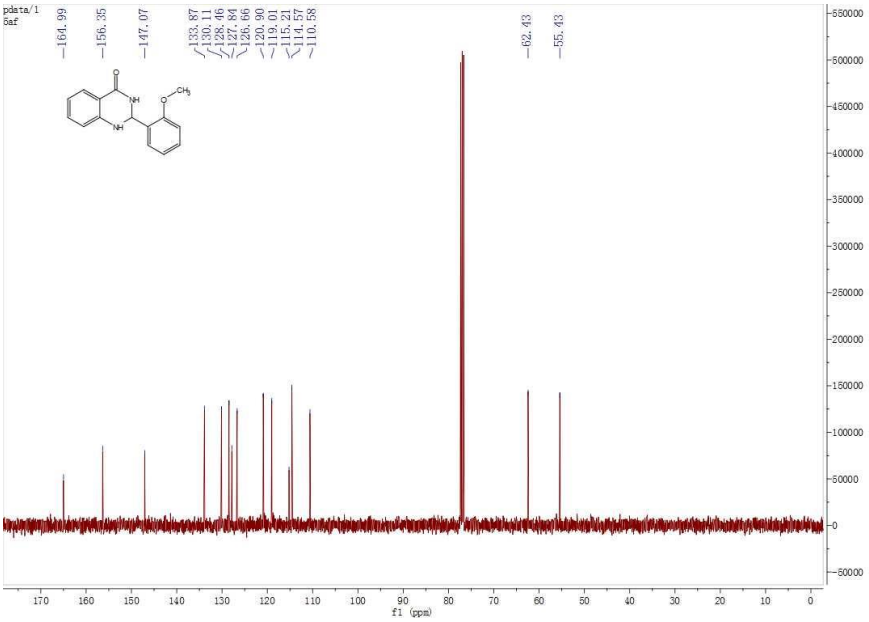

Figure S61~~Fig. S26.~~ ^{13}C NMR for compound 25 (5af) 2-(2-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

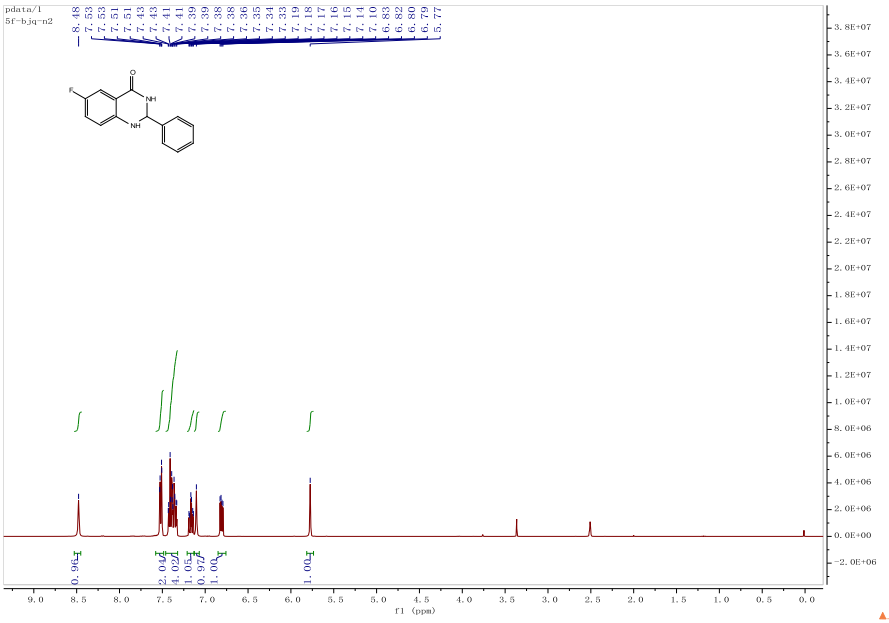

Figure S62

~~Fig. S27.~~ ^{1}H NMR for compound 26 (5ea)6-fluoro-2-phenyl-2,3-dihydroquinazolin-4(1H)-one.

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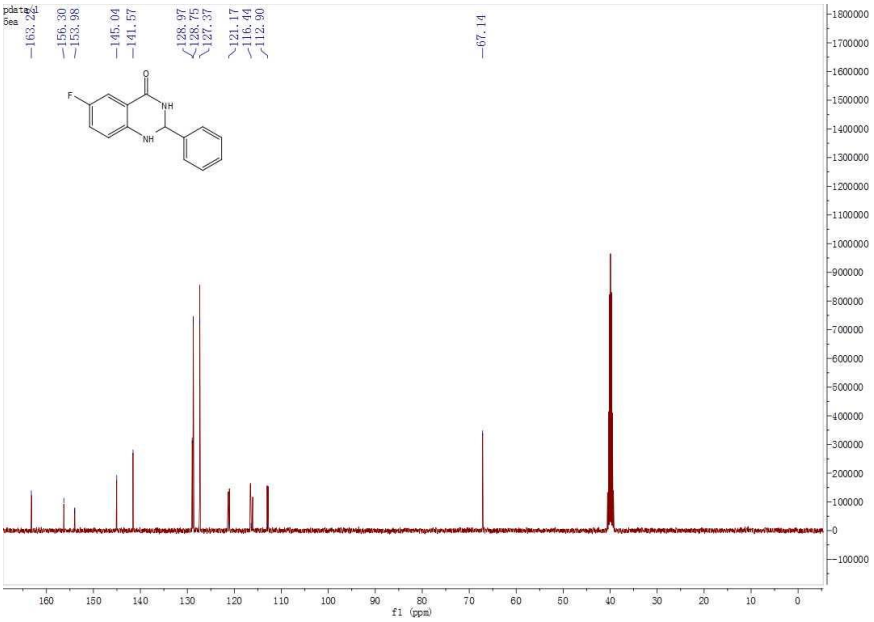

Figure S63~~Fig. S27.~~ ^{13}C NMR for compound 26 (5ea) 6-fluoro-2-phenyl-2,3-dihydroquinazolin-4(1H)-one.

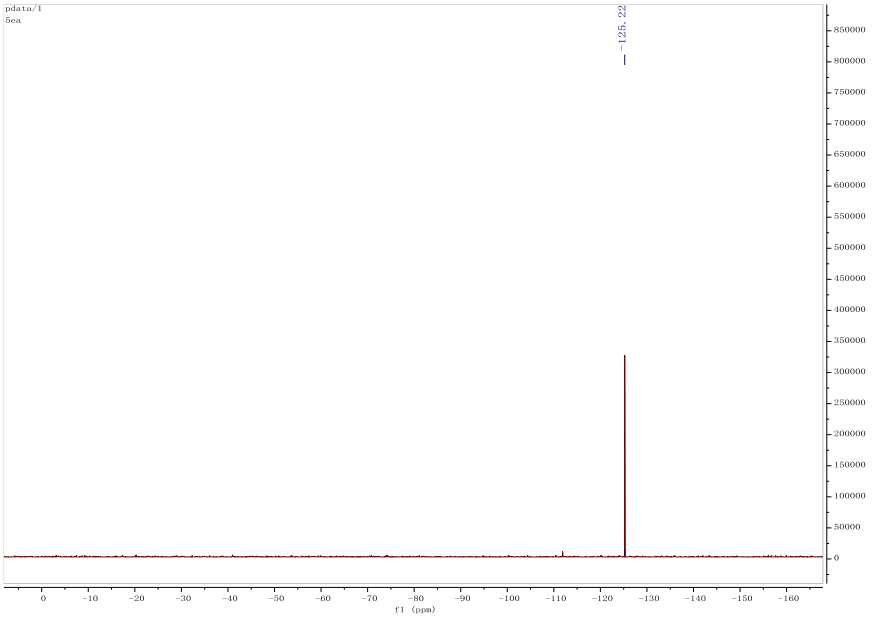

Figure S 64^{19}F NMR for compound 26 (5ea) 6-fluoro-2-phenyl-2,3-dihydroquinazolin-4(1H)-one.

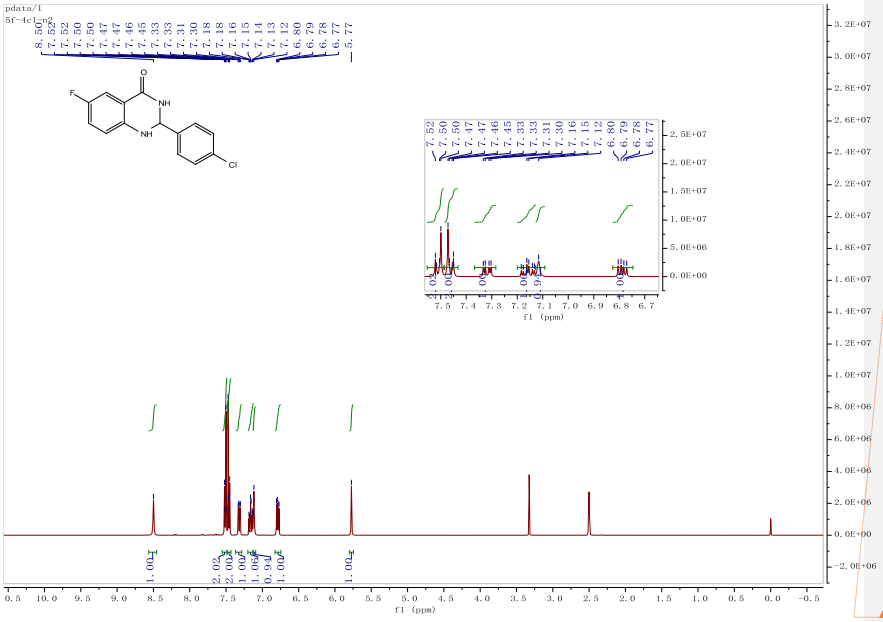

Figure S65

~~Fig. S28.~~[1]H NMR for compound 27 (5eb)2-(4-chlorophenyl)-6-fluoro-2,3-dihydroquinazolin-4(1H)-one.

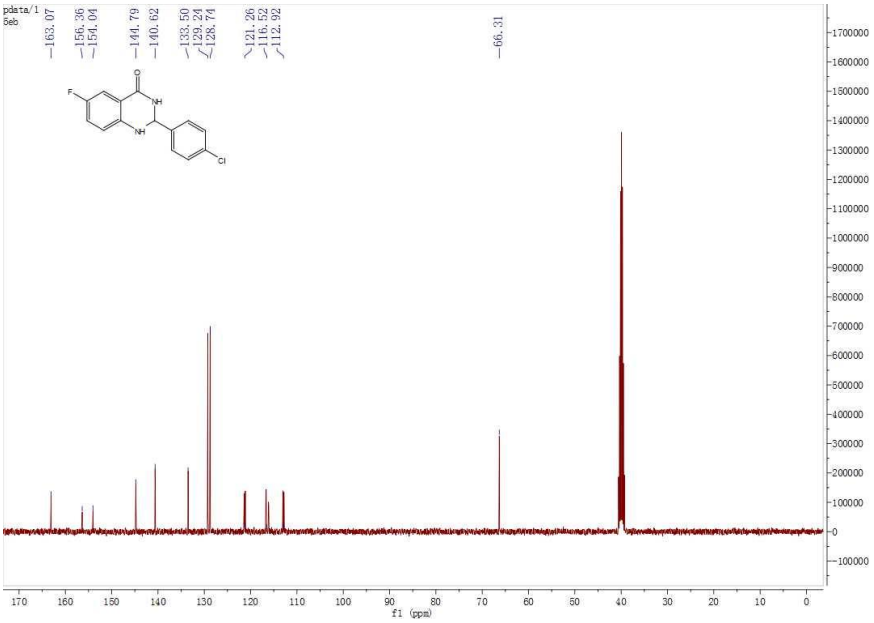

Figure S66~~Fig. S28.~~[13]C NMR for compound 27 (5eb) 2-(4-chlorophenyl)-6-fluoro-2,3-

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dihydroquinazolin-4(1H)-one.

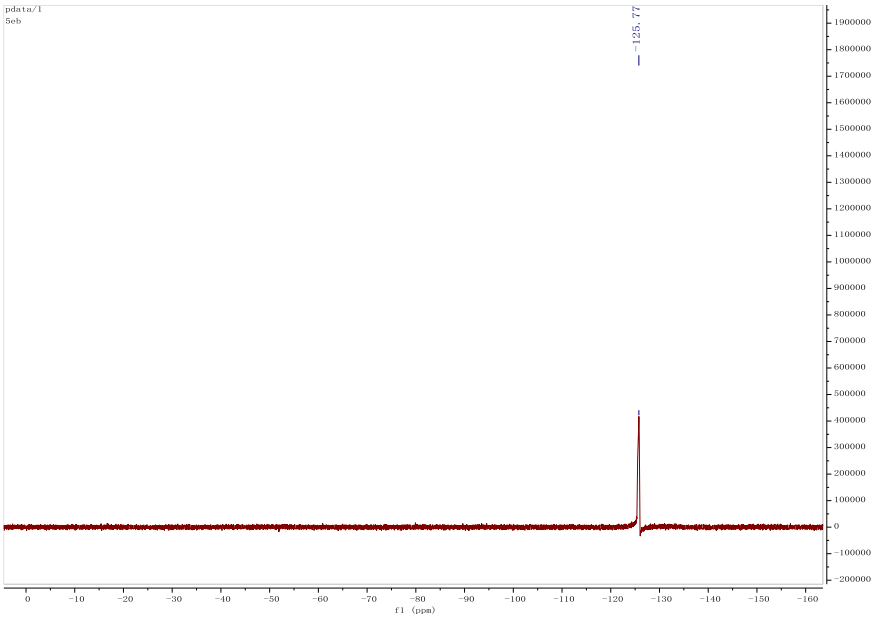


Figure S 67~~Fig. S28.~~ ^{19}F NMR for compound 27 (5eb) 2-(4-chlorophenyl)-6-fluoro-2,3-dihydroquinazolin-4(1H)-one.

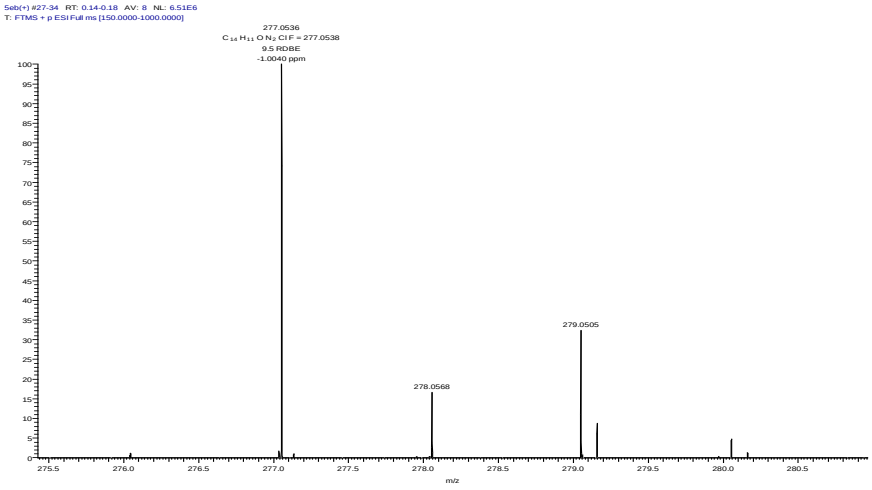
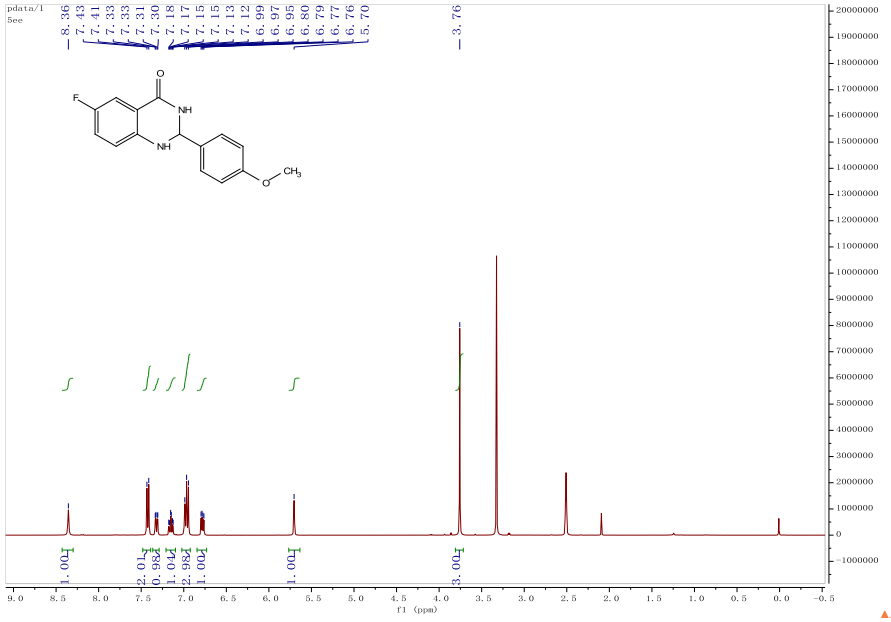


Figure S68HRMS for compound 27 (5eb) 2-(4-chlorophenyl)-6-fluoro-2,3-dihydroquinazolin-4(1H)-one.



FigureS69

~~Fig. S29.~~^{1}H NMR for compound 28 (5ee)6-fluoro-2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

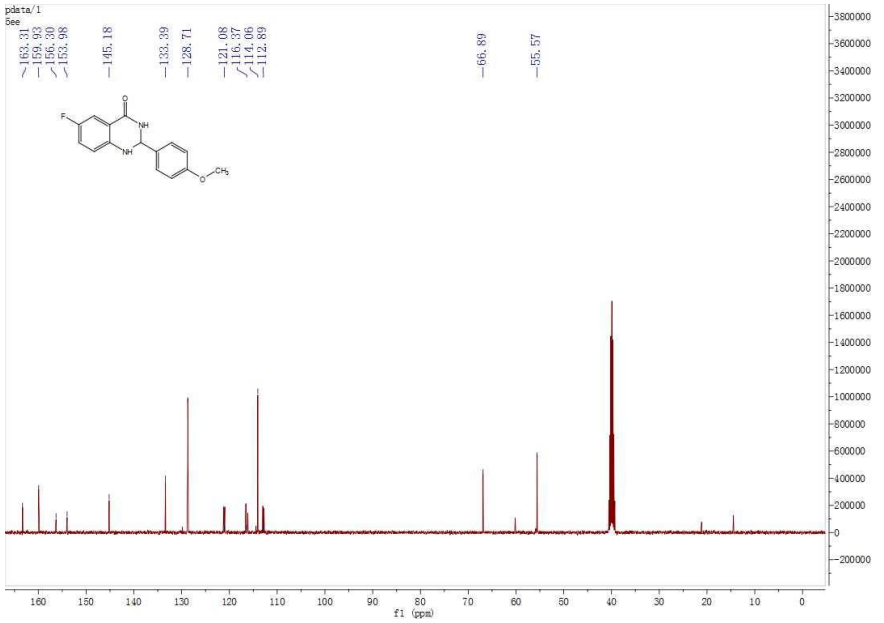


Figure S70~~Fig. S29.~~^{13}C NMR for compound 28 (5ee) 6-fluoro-2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

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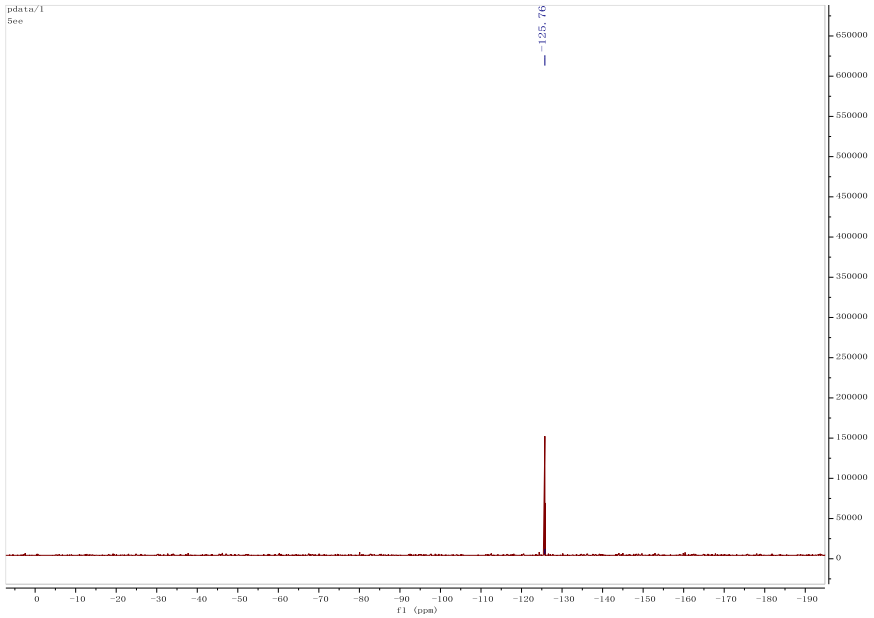

Figure S 71[19]F NMR for compound 28 (5ee) 6-fluoro-2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

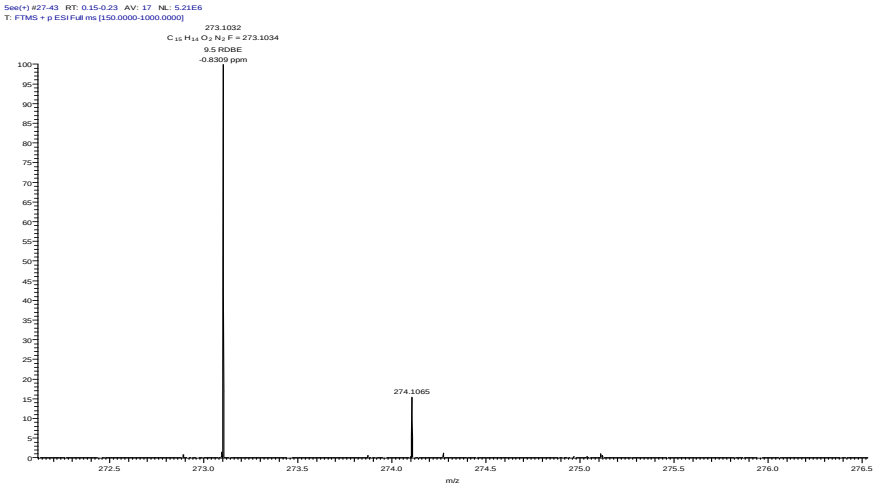

Figure S72HRMS for compound 28 (5ee) 6-fluoro-2-(4-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

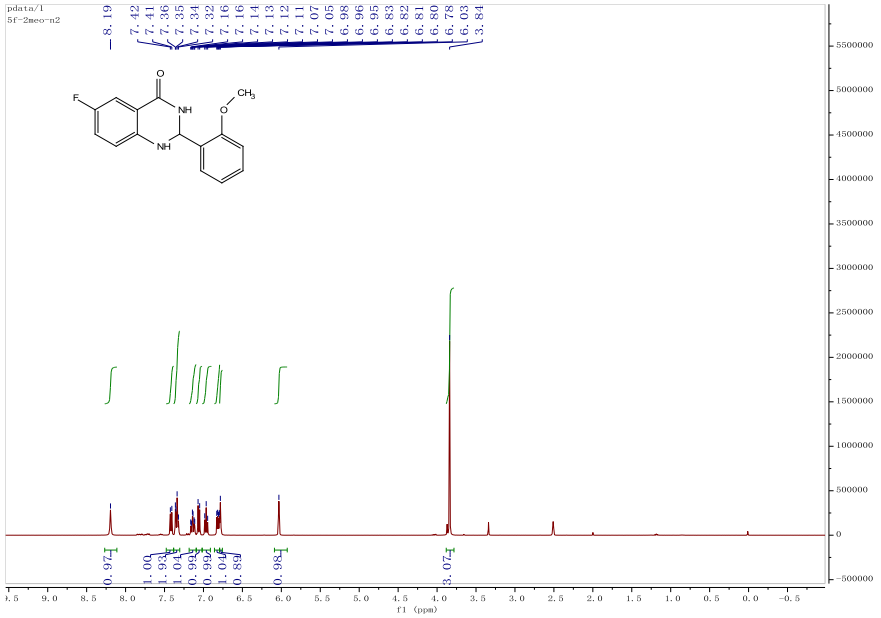

Figure S73

Fig. S30.[1]H NMR for compound 29 (5eq)6-fluoro-2-(2-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

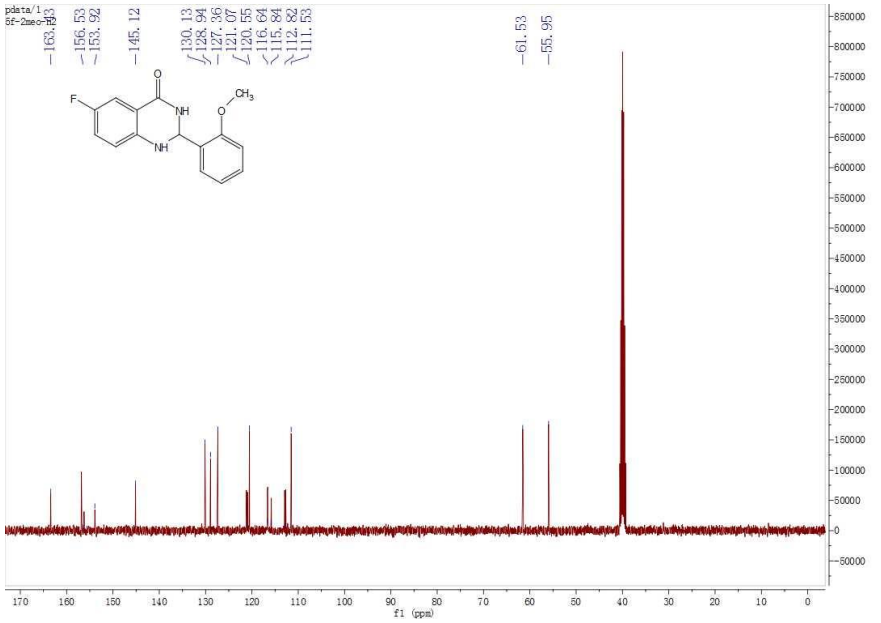

Figure S74Fig. S30.[13]C NMR for compound 29 (5eq) 6-fluoro-2-(2-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

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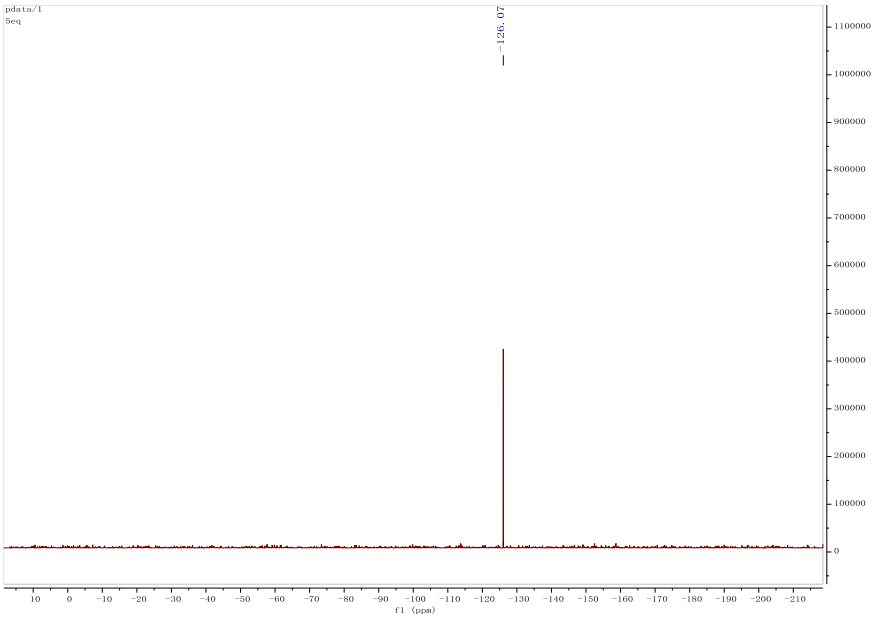

Figure S 75[19]F NMR for compound 29 (5eq) 6-fluoro-2-(2-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

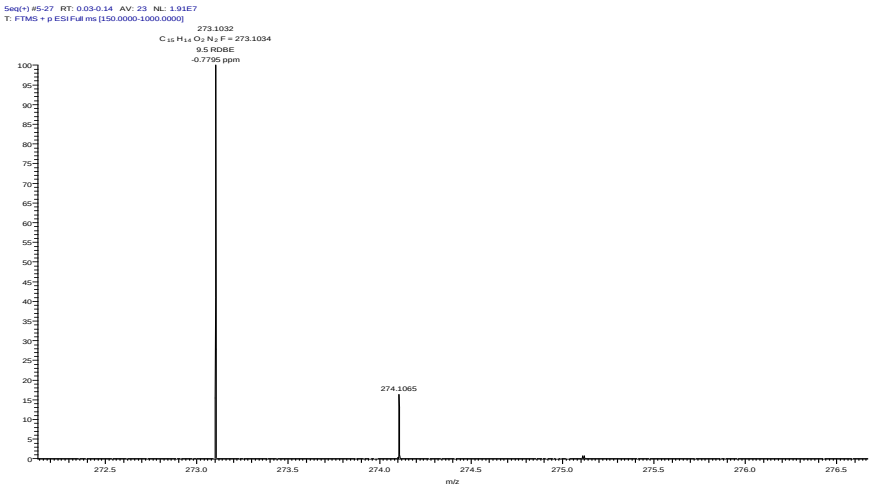

Figure S 76HRMS for compound 29 (5eq) 6-fluoro-2-(2-methoxyphenyl)-2,3-dihydroquinazolin-4(1H)-one.

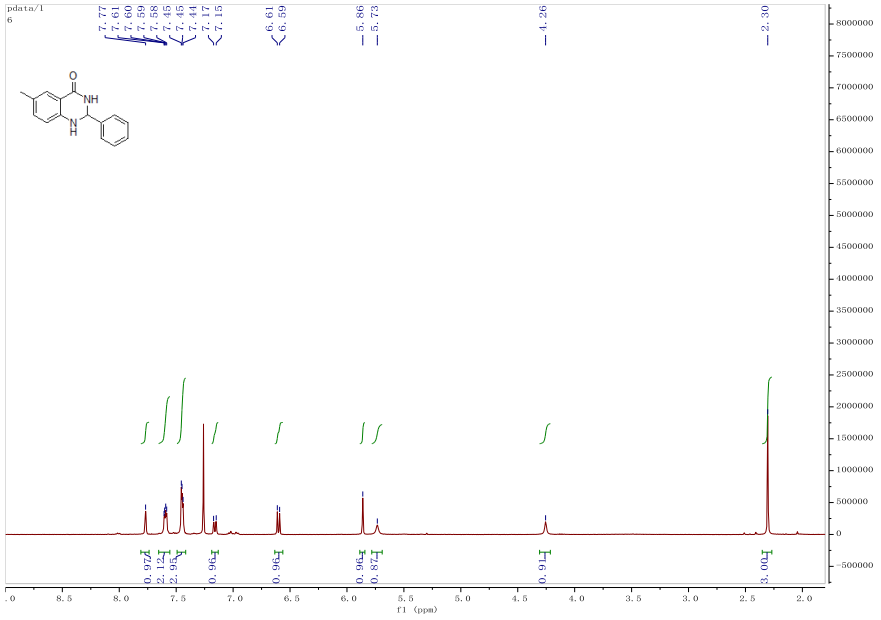

Figure S77

Fig. S31. ^{1}H NMR for compound 30 (5fa)6-methyl-2-phenyl-2,3-dihydroquinazolin-4(1H)-one.

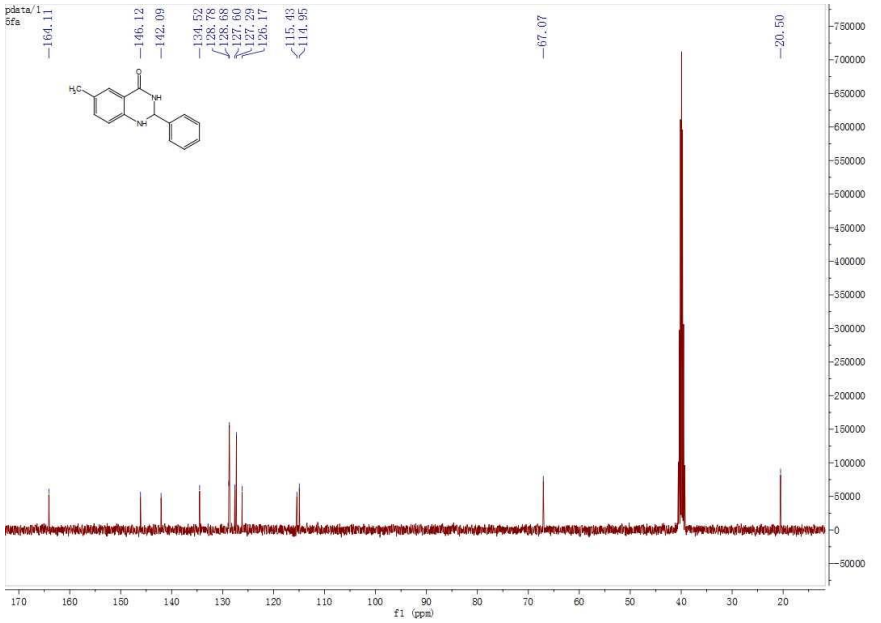

Figure S78 ^{13}C NMR for compound 30 (5fa) 6-methyl-2-phenyl-2,3-dihydroquinazolin-4(1H)-one.

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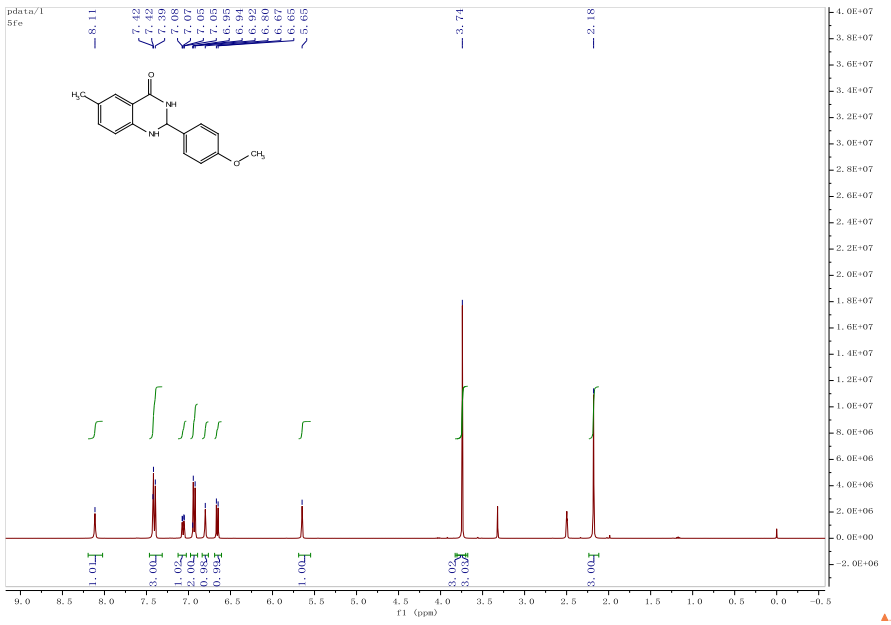

Figure S79

~~Fig. S33.~~[1]H NMR for compound 31 (5fe)2-(4-methoxyphenyl)-6-methyl-2,3-dihydroquinazolin-4(1H)-one.

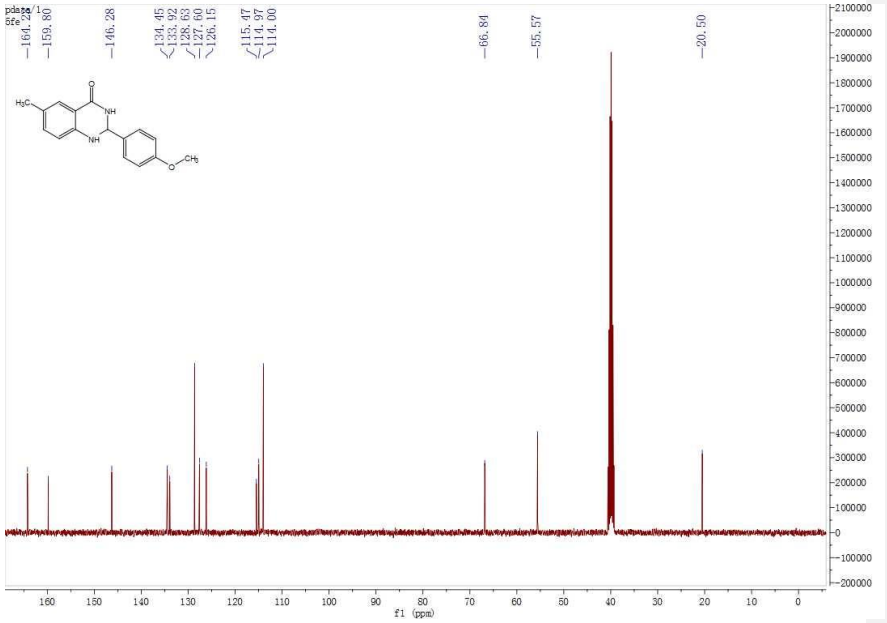

Figure S80[13]C NMR for compound 31 (5fe) 2-(4-methoxyphenyl)-6-methyl-2,3-dihydroquinazolin-4(1H)-one.

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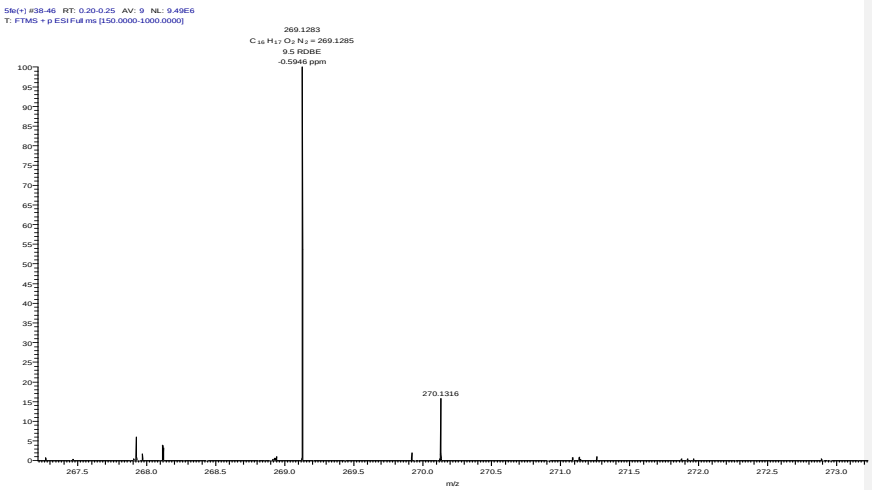

Figure S 81 HRMS for compound 31 (5fe) 2-(4-methoxyphenyl)-6-methyl-2,3-dihydroquinazolin-4(1H)-one.

1. Parua, S.; Das, S.; Sikari, R.; Sinha, S.; Paul, N. D., One-Pot Cascade Synthesis of Quinazolin-4(3H)-ones via Nickel-Catalyzed Dehydrogenative Coupling of *o*-Aminobenzamides with Alcohols. *J Org Chem* **2017,** 82, (14), 7165-7175, 10.1021/acs.joc.7b00643.
2. Mohammed, S.; Vishwakarma, R. A.; Bharate, S. B., Iodine catalyzed oxidative synthesis of quinazolin-4(3H)-ones and pyrazolo[4,3-d]pyrimidin-7(6H)-ones via amination of sp3 C-H bond. *J Org Chem* **2015,** 80, (13), 6915-6921, 10.1021/acs.joc.5b00989.
3. Guo, S.; Li, Y.; Tao, L.; Zhang, W.; Fan, X., Rapid assembly of quinazolinone scaffold via copper-catalyzed tandem reaction of 2-bromobenzamides with aldehydes and aqueous ammonia: application to the synthesis of the alkaloid tryptanthrin. *RSC Adv.* **2014,** 4, (103), 59289-59296, 10.1039/c4ra10799c.
4. Wu, X. F.; He, L.; Neumann, H.; Beller, M., Palladium-catalyzed carbonylative synthesis of quinazolinones from 2-aminobenzamide and aryl bromides. *Chemistry* **2013,** 19, (38), 12635-12638, 10.1002/chem.201302182.
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12