Supporting Information

Synthesis of quinazolinone scaffolds from the cascade reaction of *o*-aminobenzamides/*o*-aminobenzonitrile and calcium carbide mediated by K_2S

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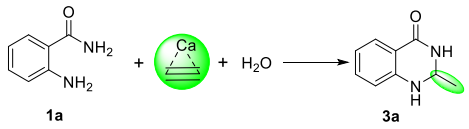
1. General Information

All reagents were purchased from commercial suppliers and used without further purification unless otherwise stated. Solvents were obtained from Shanghai Titan Scientific and used without additional purification. Calcium carbide with a purity of 98% was procured from Macklin. The reaction progress was monitored by thin-layer chromatography (TLC) under UV light at 254 nm and 365 nm. Column chromatography separations were carried out using silica gel (200–300 mesh). UPLC - HRMS measurements were performed on an Aglient 1290 infinity II-6546LC/Q-TOF, with methanol as the mobile phase. Both ^{1}H and ^{13}C NMR spectra were recorded in DMSO-d_6 or Chloroform-*d* using an Agilent 500 MHz DD2 spectrometer.

2. Optimization of Reaction Conditions

Table S1 Optimization of Reaction Conditions of synthesize 2-methyl-2,3-dihydroquinazolin-4(1H)-one from 2-aminobenzamide and CaC_2 [a]



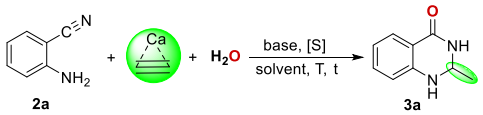
1a 3a

Entry	Additive	Ratio	T (℃)	Time (h)	Solvent	Yield [b] (%)
1	K_2S	1: 2: 1: 3: 2	120	24	NMP	59
2	K_2S	1: 2: 1: 3: 3	120	24	NMP	89
3	K_2S	1: 2: 1: 3: 4	120	24	NMP	86
4	K_2S	1: 2: 1: 3: 5	120	24	NMP	83
5	K_2S	1: 2: 1: 3: 6	120	24	NMP	65
6	K_2S	1: 2: 1: 3: 3	120	12	NMP	92
7	K_2S	1: 2: 1: 3: 3	120	6	NMP	95
8	K_2S	1: 2: 1: 3: 3	120	5	NMP	96
9	K_2S	1: 2: 1: 3: 3	120	3	NMP	89
10	K_2S	1: 2: 0: 3: 3	120	5	NMP	42
11	K_2S	1: 2: 0.5: 3: 3	120	5	NMP	89
12	K_2S	1: 2: 2: 3: 3	120	5	NMP	53
13	K_2S	1: 2: 1: 4: 3	120	5	NMP	96
14[c]	K_2S	1: 2: 1: 3: 3	120	5	NMP	19
15[d]	K_2S	1: 2: 1: 3: 3	120	5	NMP	90
16[e]	K_2S	1: 2: 1: 3: 3	120	5	NMP	94
17[f]	K_2S	1: 2: 1: 3: 3	120	5	NMP	41
18	K_2S	1: 2: 1: 1: 3	120	5	NMP	61

19	K_2S	1: 2: 1: 1: 3	120	24	NMP	92
20	K_2S	1: 2: 1: 3: 3	130	5	NMP	61

[a] Reaction conditions: **1a** (1.0 mmol), mole ratio of **1a**: CaC_2: KOH: K_2S: H_2O, and solvent (2.0 mL). [b] Yields were determined by ^1H NMR using pyrazine as an internal standard, isolated yields are given in parentheses. [c] KOH replaced by Et_3N. [d] KOH replaced by KO^{t}Bu. [e] KOH replaced by NaOH. [f] KOH replaced by CH_3COONa.

Table S2 Optimization of Reaction Conditions of synthesize 2-methyl-2,3-dihydroquinazolin-4(1H)-one from 2-aminobenzonitrile and CaC_2 [a]



2a 3a

Entry	Base		Additive		T (°C)	Time (h)	Solvent	Yield [b] (%)
	kinds	mmol	kinds	mmol				
1	KOH	2.5	K_2S	3	120	12	DMSO	42
2	KOH	2.5	K_2S	3	120	12	DMF	45
3	KOH	2.5	K_2S	3	120	12	NMP	68
4	KOH	2.5	K_2S	3	120	12	C_2H_5OH	78
5	KOH	2.5	K_2S	3	120	12	1,4-dioxane	15
6	KOH	2.5	K_2S	3	120	12	*n*- butanol	98
7	KOH	2.5	K_2S	3	120	12	*n*- hexanol	98
8	KOH	2.5	K_2S	2	120	12	*n*-hexanol	85
9	KOH	2.5	K_2S	1	120	12	*n*-hexanol	65
10	KOH	2.5	-	-	120	12	*n*-hexanol	trace
11	KOH	2.5	S	3	120	12	*n*-hexanol	23
12	KOH	2	K_2S	3	120	12	*n*-hexanol	84
13	KOH	3	K_2S	3	120	12	*n*-hexanol	64
14	C_4H_9OK	2.5	K_2S	3	120	12	*n*-hexanol	80
15	NaOH	2.5	K_2S	3	120	12	*n*-hexanol	98
16	C_4H_9ONa	2.5	K_2S	3	120	12	*n*-hexanol	87
17	K_2CO_3	2.5	K_2S	3	110	12	*n*-hexanol	91
18[c]	KOH	2.5	K_2S	3	120	12	*n*-hexanol	95
19[d]	KOH	2.5	K_2S	3	120	12	*n*-hexanol	92
20[e]	KOH	2.5	K_2S	3	120	12	*n*-hexanol	62
21	KOH	2.5	K_2S	3	110	12	*n*-hexanol	98
22	KOH	2.5	K_2S	3	130	12	*n*-hexanol	87
23	KOH	2.5	K_2S	3	100	12	*n*-hexanol	82
24	KOH	2.5	K_2S	3	110	18	*n*-hexanol	98
25	KOH	2.5	K_2S	3	110	24	*n*-hexanol	98
26	KOH	2.5	K_2S	3	110	8	*n*-hexanol	80
27	NaOH	2.5	K_2S	3	110	12	*n*-butanol	98
28	**NaOH**	**2.5**	**K_2S**	**3**	**110**	**8**	***n*-butanol**	**98**

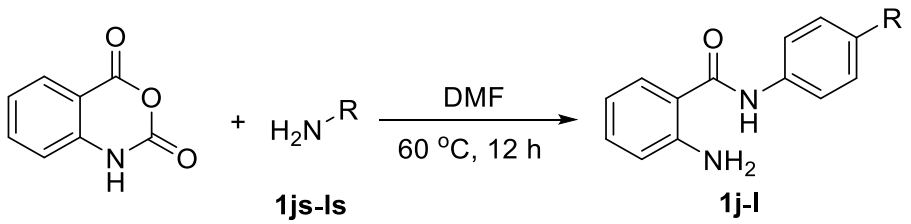
29	NaOH	2.5	K_2S	3	110	5	*n*-butanol	82

[a] Reaction conditions:**1a** (1.0 mmol), CaC_2 (2 mmol), H_2O (6 mmol), and solvent (2.0 mL). [b] NMR yield. [c] H_2O (5 mmol). [d] H_2O (7 mmol). [e] CaC_2 (1 mmol), H_2O (4 mmol).

3. Synthesis of the Substrates of o-aminobenzamides (1j-l, 1ha, 2am)

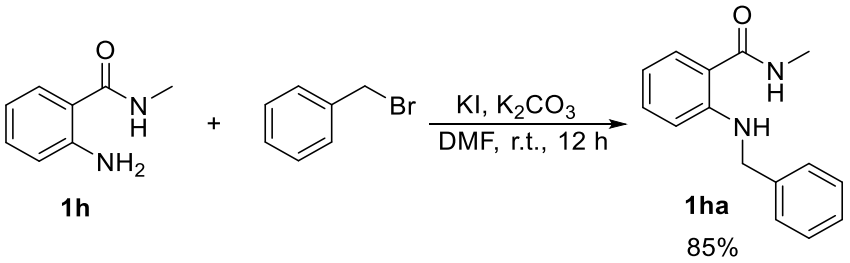
3.1 General Procedure for the Preparation of 2-amino-N-benzamides (1j-l)[1]

In a round-bottomed flask containing isatoic anhydride (3 mmol, 489 mg, 1.0 equiv.) in DMF (5 mL), amine **1js-ls** (3 mmol, 1.0 equiv.) was added. Subsequently, the mixtures were heated to 60 °C in an oil bath and stirred for 12 h. After the reaction was completed, the reaction mixture was dissolved in 10 mL of ethyl acetate and washed three times with 20 mL of water each time. The aqueous layer was further extracted with ethyl acetate (3 × 10 mL). The combined organic layers were dried over $MgSO_4$, and the solvent was removed using a rotary evaporator. The crude product was then further purified by column chromatography on silica gel with a petroleum ether/ethyl acetate ratio of 6/1 to obtain the pure compounds **1j-l**.



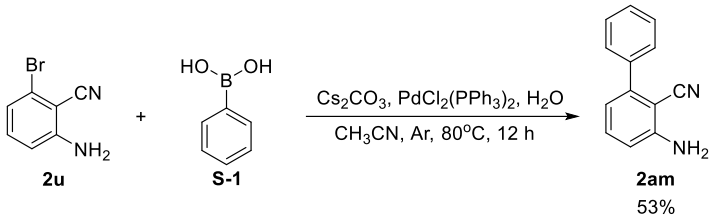
3.2 General Procedure for the Preparation of 2-(benzylamino)-N-methylbenzamide (1ha)[2]

A mixture composed of 2-aminobenzamide (7 mmol, 1.68 g), K_2CO_3 (7 mmol, 1.71 g), KI (7 mmol, 1.16 g), and benzyl bromide (10 mmol, 1.71 g) in DMF (10 mL) was stirred at room temperature for 12 hours. Upon the reaction was complete, the reaction mixture was dissolved in 10 mL of ethyl acetate and washed three times with 20 mL of water each time. The aqueous layer was further extracted with ethyl acetate (3 × 10 mL). The organic layer was subsequently washed with brine, dried over anhydrous $MgSO_4$, and concentrated under reduced pressure. The obtained residue was further purified via flash column chromatography, resulting in the isolation of the desired product **1ha** with an 85% yield.

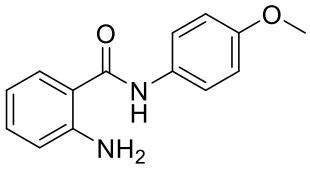


3.3 General Procedure for the Preparation of 2-(benzylamino)-N-methylbenzamide (2am)[3]

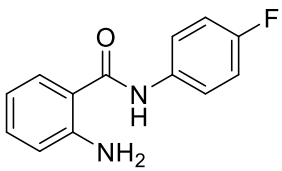
A mixture of 2-amino-6-bromobenzonitrile **2u** (980 mg, 5 mmol), phenylboronic acid **S-1** (6 mmol), $PdCl_2(PPh_3)_2$ (35.0 mg, 0.05 mmol), and Cs_2CO_3 (4.89 g, 15.0 mmol) in CH_3CN (20 mL) and H_2O (1.6 mL) was stirred at 80 °C under an argon atmosphere for 12 h. After completion, the reaction mixture was cooled to room temperature and diluted with ethyl acetate (50 mL). The organic layer was washed with brine (3 × 30 mL), dried over anhydrous $MgSO_4$, and concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel using a mixture of dichloromethane and petroleum ether (1:1, v/v) to afford the desired product **2am**.



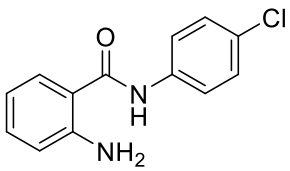
3.4 Characterization of Starting Materials



2-amino-N-(4-methoxyphenyl)benzamide (1j):[1] According to general procedure, the crude residue was purified by flash chromatography (petroleum ether/ethyl acetate = 6:1) to give the product as a white solid (610.6 mg, 84 %). ^{1}H NMR (500 MHz, DMSO-d_6) δ 9.86 (s, 1H), 7.60 (d, J = 7.5 Hz, 3H), 7.18 (t, J = 7.6 Hz, 1H), 6.91 (d, J = 8.7 Hz, 2H), 6.74 (d, J = 8.1 Hz, 1H), 6.58 (t, J = 7.4 Hz, 1H), 6.30 (s, 2H), 3.74 (s, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) δ 167.5, 155.4, 149.6, 132.2, 131.9, 128.5, 122.2, 116.3, 115.4, 114.6, 113.6, 55.2.

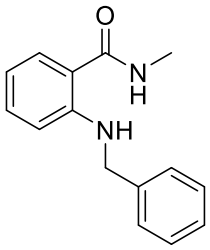


2-amino-N-(4-fluorophenyl)benzamide (1k):[1] According to general procedure, the crude residue was purified by flash chromatography (petroleum ether/ethyl acetate = 6:1) to give the product as a white solid (462.7 mg, 67 %). ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 10.03 (s, 1H), 7.77-7.65 (m, 2H), 7.61 (d, J = 7.9 Hz, 1H), 7.18 (dt, J = 17.2, 8.4 Hz, 3H), 6.74 (d, J = 8.3 Hz, 1H), 6.58 (t, J = 7.5 Hz, 1H), 6.31 (s, 2H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 167.7, 158.1 (d, J = 240.7 Hz), 149.7, 135.6 (d, J = 2.5 Hz), 132.1, 128.6, 122.4 (d, J = 7.6 Hz), 116.4, 115.0 (d, J = 22.7 Hz), 115.0, 114.7.

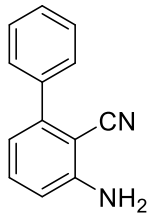


2-amino-N-(4-chlorophenyl)benzamide (1l):[1] According to general procedure, the crude residue was purified by flash chromatography (petroleum ether/ethyl acetate = 6:1) to give the product as a white solid (473.7 mg, 64 %). ^{1}H NMR (500 MHz, DMSO-d$_6$, TMS) δ 10.09 (s, 1H), 7.74

(d, J = 8.1 Hz, 2H), 7.61 (d, J = 7.9 Hz, 1H), 7.38 (d, J = 8.1 Hz, 2H), 7.20 (t, J = 7.6 Hz, 1H), 6.75 (d, J = 8.2 Hz, 1H), 6.58 (t, J = 7.3 Hz, 1H), 6.32 (s, 2H). $^{13}C\{^{1}H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 167.9, 149.8, 138.2, 132.3, 128.7, 128.4, 126.9, 122.0, 116.4, 114.9, 114.7.



2-(benzylamino)-N-methylbenzamide (1ha):[2] According to general procedure, the crude residue was purified by flash chromatography (CH_2Cl_2) to give the product as a white solid (1.32 g, 84%). ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.29 (s, 2H), 7.52 (d, J = 7.7 Hz, 1H), 7.34 (d, J = 3.3 Hz, 4H), 7.25 (s, 1H), 7.20 (t, J = 7.6 Hz, 1H), 6.62 (d, J = 8.3 Hz, 1H), 6.55 (t, J = 7.5 Hz, 1H), 4.36 (d, J = 5.6 Hz, 2H), 2.74 (d, J = 3.8 Hz, 3H). $^{13}C\{^{1}H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 169.6, 148.9, 139.6, 132.1, 128.5, 128.1, 127.1, 126.8, 115.3, 114.4, 111.5, 46.1, 26.0.



3-amino-[1,1'-biphenyl]-2-carbonitrile (2am):[3] According to general procedure, the crude residue was purified by flash chromatography (CH_2Cl_2/ petroleum ether=1:1) to give the product as a colourless solid (514 mg, 53%). ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.50-7.41 (m, 5H), 7.34 (t, J = 7.9 Hz, 1H), 6.81 (d, J = 8.3 Hz, 1H), 6.60 (d, J = 7.3 Hz, 1H), 6.09 (s, 2H). $^{13}C\{^{1}H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 153.2, 145.5, 139.5, 134.0, 129.0, 128.9, 128.8, 118.0, 117.2, 114.4, 93.2.

4. Experimental Procedures

4.1 General Procedure for the Synthesis of 2-methyl-2,3-dihydroquinazolin-4(1H)-ones from the Reaction of 2-aminobenzamide and Calcium Carbide mediated by K_2S and KOH

A 15 mL closed reactor was loaded with 2-aminobenzamide (1 mmol, 136.2 mg, 1.0 equiv.), water (3 mmol, 54 μL, 3.0 equiv.), and 3 mL of *n*-butanol. The mixture was stirred at room temperature for 5 minutes. Next, KOH (1 mmol, 56.1 mg, 1.0 equiv.), K_2S (3 mmol, 330.8 mg, 3.0 equiv.), and freshly powdered calcium carbide (2.0 mmol, 131 mg, 2.0 equiv.) were added to the reactor. Subsequently, the reactor was placed in a constant-temperature sand bath, and the reaction mixture was vigorously stirred for 5 h. Upon completion of the reaction, the solvent of the reaction mixture was removed by a high-boiling-point rotary evaporator. The obtained crude product was dissolved in 3-5 mL ethyl acetate. Finally, the crude product was purified by column chromatography on silica gel with a MeOH/CH_2Cl_2 ratio ranging from 1/20 to 1/100, affording the desired product 2-methyl-2,3-dihydroquinazolin-4(1H)-ones.

4.2 General procedure for the Synthesis of 2-methyl-2,3-dihydroquinazolin-4(1H)-ones from the Reaction of 2-aminobenzonitrile and Calcium Carbide mediated by K_2S and KOH

A 15 mL closed reactor was charged with 2-aminobenzonitrile (1 mmol, 118.1 mg, 1.0 equiv.), water (6 mmol, 108 μL, 6.0 equiv.), NaOH (2.5 mmol, 100 mg, 2.5 equiv.), and 3 mL of *n*-butanol. The mixture was stirred at room temperature for 5 minutes. Subsequently, K_2S (3 mmol, 330.8 mg, 3.0 equiv.) and freshly powdered calcium carbide (2.0 mmol, 131 mg, 2.0 equiv.) were added. Then, the reactor was placed in a constant-temperature sand bath, and the reaction mixture was vigorously stirred for 8 h. Upon completion of the reaction, the solvent of the reaction mixture was removed by a high-boiling-point rotary evaporator. The obtained crude product was dissolved in 3-5 mL ethyl acetate. Finally, the crude product was purified by column chromatography on silica gel with a MeOH/CH_2Cl_2 ratio ranging from 1/20 to 1/100, affording the desired product 2-methyl-2,3-dihydroquinazolin-4(1H)-ones.

4.3 General Procedure for in-situ Oxidation Reaction of 2-methyl-2,3-dihydroquinazolin-4(1H)-ones to 2-methylquinazolin-4(3H)-ones

Upon completion of the reaction for the synthesis of 2-methyl-2,3-dihydroquinazolin-4(1H)-ones, the reaction solution is centrifuged. Subsequently, the supernatant is transferred to a 25 mL round-bottom flask. Next, $KMnO_4$ (1.0 equiv.) is added to the round-bottom flask, and the reaction mixture is vigorously agitated at room temperature for 2 h. After the reaction is complete, the reaction solution is filtered, and the solvent is removed from the filtrate via vacuum distillation to yield the crude product. Ultimately, the crude product is purified through column chromatography on silica gel using MeOH/CH_2Cl_2 solvent system in a ratio of 1:30, affording the desired product 2-methylquinazolin-4(3H)-one.

4.4 General procedure for the Synthesis of the Natural Product Schizocummunin 5aa

A 50-mL sealed reactor was loaded with 2-aminobenzonitrile (10 mmol, 1.18 g, 1 equiv.), distilled water (60 mmol, 1 mL, 6 equiv.), NaOH (25 mmol, 1.0 g, 2.5 equiv.), and 30 mL of *n*-butanol. The mixture was stirred at room temperature for 5 minutes. Subsequently, K_2S (30 mmol, 3.3 g, 3 equiv.) and freshly powdered calcium carbide (20 mmol, 1.31 g, 2 equiv.) were added to the reaction vessel. The reactor was then placed in a constant-temperature sand bath, and the reaction mixture was vigorously stirred for 8 hours. Upon completion of the reaction, the mixture was carefully transferred to a 50-mL round-bottom flask, and $KMnO_4$ (1 equiv.) was introduced, and stirred vigorously at room temperature for 2 hours. After the reaction, the reaction mixture was filtered, and the solvent in the filtrate was removed by vacuum distillation to obtain the crude product. The crude product was then purified by column chromatography on silica gel using a MeOH/CH_2Cl_2 ratio of 1:30. The solvent was subsequently removed by decompression distillation to yield compound **4a** (2-methylquinazolin-4(3H)-ones). Next, a 50-mL round-bottom flask was charged with **4a** (1.57 g, 1 equiv.), isatin (1.44 g,

1 equiv.), and 20 mL of acetic acid. The mixture was refluxed at 120 °C for 4 hours. After the reaction was completed, the mixture was filtered to obtain orange precipitates. The precipitates were washed with methanol (50 mL) and dried in an oven to afford the desired product **5aa**.

5. Mechanistic Investigations

5.1 HRMS Analysis Results of the Reaction Solution for the Synthesis of 2-methyl-2,3-dihydroquinazolin-4(1H)-one

A 15 mL closed reactor was loaded with **1a** (1 mmol), H_2C_2 (2 mmol), NaHS (3 mmol), and 3 mL of *n*-butanol. The reaction mixture was stirred at 110 °C for 5 h. After that, the reaction solution was analyzed by HRMS, which confirmed the presence of mass-spectrum peaks corresponding to thioacetaldehyde (Figure S1, a). Moreover, there was a mass peak at m/z 197.0755 corresponding to intermediate compounds **I** and **II** (Figure S1, b). These intermediate compounds were formed when *o*-aminobenzamide attacked thioacetaldehyde. Additionally, another mass peak at m/z 197.0755 was observed, corresponding to imines **III** and **IV** (Figure S1, c). These imines were produced from intermediate compounds **I** and **II** via the elimination of H_2S. In the accompanying figures, the red columns mark the molecular ion peak related to each identified compound.

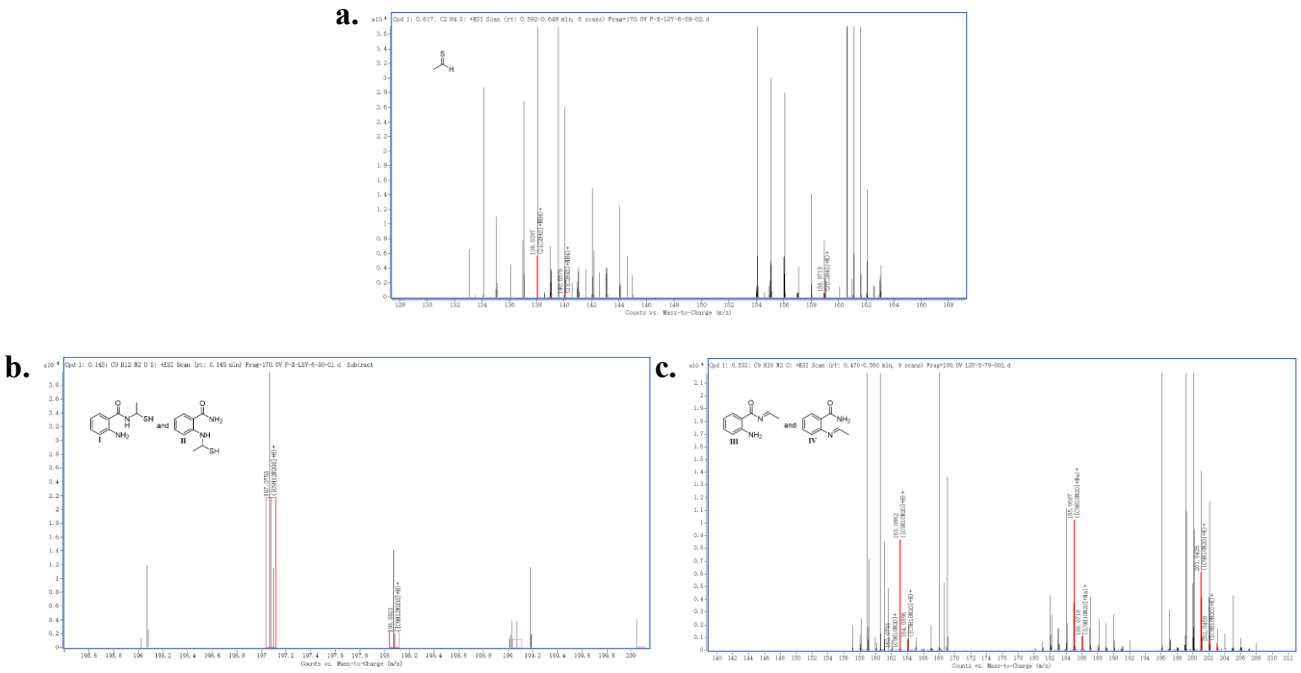


Figure S1 a. The ion peak of thioacetaldehyde; **b.** The ion peak of the adducts (Compounds **I** and **II**); **c.** The ion peak of imine (intermediates **III** and **IV)** corresponding to compounds **I** and **II**

5.2 Quantitative NMR Results of the Competitive Reaction between Substrates 1h and 1o

A 15 mL closed reactor was charged with 2-amino-N-methylbenzamide **1h** (0.5 mmol, 75 mg), 2 -(methylamino)benzamide **1o** (0.5 mmol, 75 mg), water (3 mmol, 54 μL), and 3 mL of *n*-butanol. The mixture was stirred at room temperature for 5 minutes. Next, KOH (1 mmol, 56.1 mg), K_2S (3 mmol, 330.8 mg), and freshly powdered calcium carbide (2.0 mmol, 131 mg) were added. Subsequently, the reactor was placed in a constant-temperature sand bath, and the reaction mixture was vigorously agitated for 5 h. Upon completion of the reaction, 14.1 mg of pyrazine was weighed as the internal standard and thoroughly dissolved in the reaction solution. Then, 50 μL of the mixture solution was taken for nuclear magnetic resonance quantification (using DMSO-d_6). The quantitative nuclear magnetic resonance results of products **3h** and **3o** are presented in Figure S2.

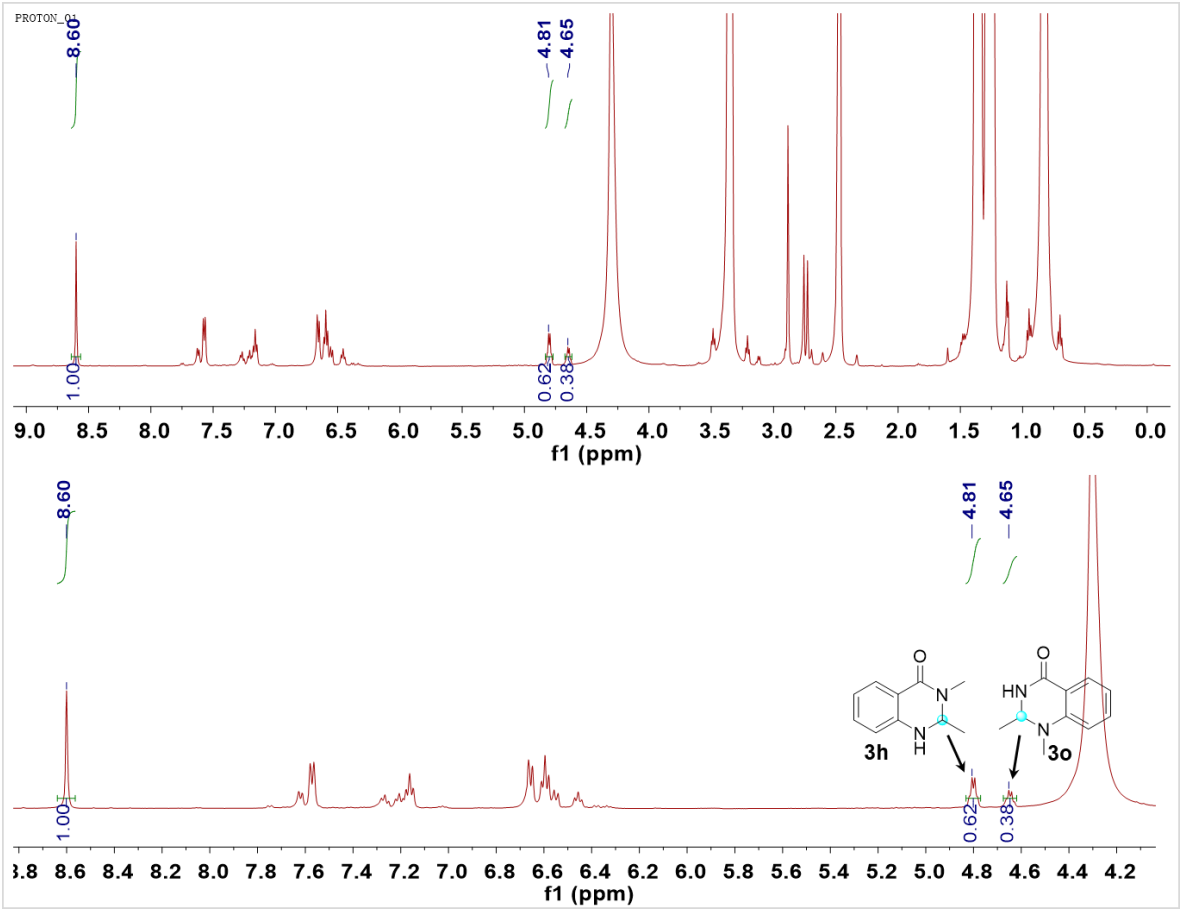


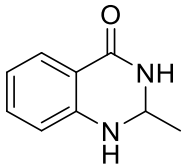
Figure S2 The nuclear magnetic resonance quantitative results of compounds **3h** and **3o**

5.3 In-situ FTIR Spectroscopy Monitoring of the Synthesis of Dihydropyrazolone 3a from the Reaction between o-aminobenzamide and CaC_2

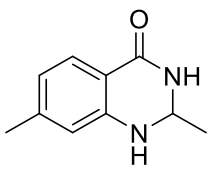
A METTLER TOLEDO ReactIRTM reactor was cleaned and dried for 10 minutes before use. Initially, 20 mL of *n*-butanol was introduced, and the solvent peak was subtracted after the infrared

peak stabilized. Subsequently, 2-aminobenzamide (1 mmol, 136.2 mg), KOH (1 mmol, 56 mg), and K_2S (3 mmol, 330.8 mg) was added. IR spectra of the mixture solution were continuously collected in the range of 650-3000 cm^{-1}, with each spectrum comprising 44 scans at a resolution of 4 cm^{-1}, collected every 15 seconds. After the mixture reaction solution was monitored by in-situ IR for 5 minutes, 0.4 MPa of acetylene was introduced into the reactor. Subsequently, the reaction solution was continuously monitored by in situ IR for an additional 5 h in 110 ºC. During this period, it was observed that the peak corresponding to the C=N bond (1674 cm^{-1}) gradually increased and then decreased, while new peaks corresponding to the C-N bond of secondary amine (1125 cm^{-1}) and the C-N bond of the amide (1569 cm^{-1}) appeared (Figure 2).

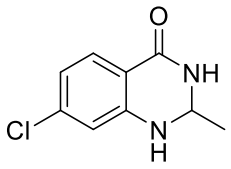
6. Characterization of Products



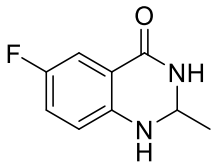
2-methyl-2,3-dihydroquinazolin-4(1H)-one (3a)[4]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (154 mg, 95%), m.p.= 182-184 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.89 (s, 1H), 7.59 (d, J = 7.6 Hz, 1H), 7.23 (t, J = 7.6 Hz, 1H), 6.71 – 6.65 (m, 2H), 6.60 (s, 1H), 4.82 (q, J = 5.7 Hz, 1H), 1.31 (d, J = 5.8 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 164.1, 148.8, 133.1, 127.5, 117.2, 115.2, 114.3, 60.9, 21.3. HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_9H_{10}N_2ONa$ 185.0685; Found: 185.0685.



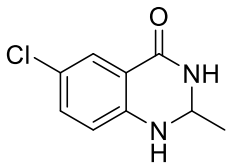
2,7-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3b): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (171 mg, 97%), m.p.= 222-224 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.78 (s, 1H), 7.47 (d, J = 7.6 Hz, 1H), 6.49 (d, J = 7.7 Hz, 3H), 4.79 (q, J = 5.4 Hz, 1H), 2.20 (s, 3H), 1.30 (d, J = 5.1 Hz, 3H). .^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 164.2, 148.7, 143.0, 127.5, 118.4, 114.3, 112.9, 60.9, 21.4, 21.3 HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{10}H_{13}N_2O$ 177.1022; Found: 177.1024.



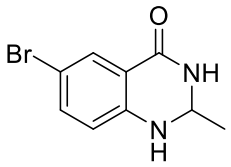
7-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3c): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (191 mg, 97%), m.p.= 146-148 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.00 (s, 1H), 7.57 (d, J = 8.3 Hz, 1H), 6.89 (s, 1H), 6.72 (s, 1H), 6.68 (d, J = 8.3 Hz, 1H), 4.86 (q, J = 5.6 Hz, 1H), 1.31 (d, J = 5.8 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 163.2, 149.6, 137.6, 129.4, 117.0, 113.8, 113.3, 60.8, 21.4. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_{10}ClN_2O$ 197.0476; Found: 197.0476.



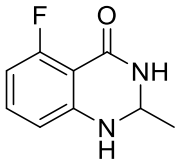
6-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3d): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (126 mg, 70%), m.p.= 214-216 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.07 (s, 1H), 7.29 (dd, J = 9.0, 3.0 Hz, 1H), 7.13 (td, J = 8.7, 3.1 Hz, 1H), 6.72 (dd, J = 8.8, 4.5 Hz, 1H), 6.59 (s, 1H), 4.80 (q, J = 5.7 Hz, 1H), 1.31 (d, J = 5.7 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 163.2 (d, J = 2.5 Hz), 155.7, 153.8, 145.4 (d, J = 1.3 Hz), 120.5 (d, J = 23.9 Hz), 116.0 (t, J = 7.6 Hz), 112.6 (d, J = 22.7 Hz), 61.0, 21.0. . ^{19}F NMR (470 MHz, DMSO-d_6, TMS) δ -126.73. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_{10}FN_2O$ 181.0771; Found: 181.0772.



6-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3e): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (165 mg, 84%), m.p.= 225-227 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.07 (s, 1H), 7.51 (d, J = 2.6 Hz, 1H), 7.26 (dd, J = 8.6, 2.6 Hz, 1H), 6.84 (s, 1H), 6.72 (d, J = 8.7 Hz, 1H), 4.84 (q, J = 5.7 Hz, 1H), 1.31 (d, J = 5.8 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 163.2, 147.8, 133.2, 126.9, 121.1, 116.7, 116.7, 61.2, 21.6. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_{10}ClN_2O$ 197.0476; Found: 197.0476.

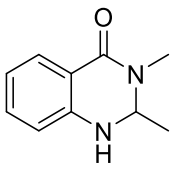


6-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3f): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (231 mg, 96%), m.p.= 236-238 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.06 (s, 1H), 7.64 (d, J = 2.4 Hz, 1H), 7.37 (dd, J = 8.6, 2.4 Hz, 1H), 6.86 (s, 1H), 6.67 (d, J = 8.6 Hz, 1H), 4.84 (q, J = 5.7 Hz, 1H), 1.31 (d, J = 5.8 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.7, 147.7, 135.5, 129.4, 116.8, 116.7, 108.0, 60.7, 21.2. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_{10}BrN_2O$ 240.9971; Found: 240.9966.

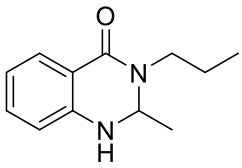


5-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3g): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (128 mg, 71%). m.p.=234-236 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.06 (s, 1H), 7.28 (dd, J = 9.0, 3.0 Hz, 1H), 7.12 (td, J = 8.7, 3.1 Hz, 1H), 6.71 (dd, J = 8.9, 4.5 Hz, 1H), 6.58 (s, 1H), 4.79 (q, J = 5.7 Hz, 1H), 1.30 (d, J = 5.8 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 163.2 (d, J = 5 Hz), 154.7 (d, J = 930 Hz), 145.4 (d, J = 5 Hz), 120.5 (d, J = 95 Hz), 116.03, 115.97, 112.6 (d, J = 95 Hz), 61.0, 21.0. ^{19}F NMR (470 MHz, DMSO-d_6, TMS) δ -114.48. HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_9H_9FN_2ONa$

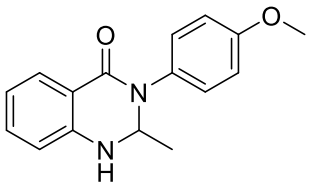
203.0591; Found: 203.0594.



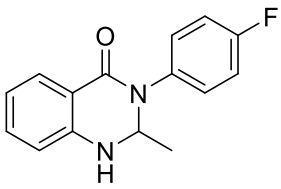
2,3-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3h)[5]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (144 mg, 82%). m.p.=75-77 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.60 (d, J = 7.7 Hz, 1H), 7.27 – 7.17 (m, 1H), 6.71 (s, 1H), 6.66 (dd, J = 12.6, 7.6 Hz, 2H), 4.84 (q, J = 5.9 Hz, 1H), 2.91 (s, 3H), 1.29 (d, J = 5.9 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.1, 146.8, 133.0, 127.4, 116.9, 114.5, 114.4, 66.4, 30.8, 19.2. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{10}H_{13}N_2O$ 177.1022; Found: 177.1025.



2-methyl-3-propyl-2,3-dihydroquinazolin-4(1H)-one (3i): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a yellow oil (202 mg, 99%). ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.60 (d, J = 7.6 Hz, 1H), 7.22 (t, J = 7.6 Hz, 1H), 6.68 – 6.65 (m, 3H), 4.85 – 4.82 (m, 1H), 3.77 – 3.71 (m, 1H), 2.92 – 2.87 (m, 1H), 1.61 – 1.47 (m, 2H), 1.27 (d, J = 5.8 Hz, 3H), 0.87 (t, J = 7.3 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 161.6, 146.7, 132.9, 127.4, 116.9, 115.0, 114.5, 64.7, 44.9, 21.1, 19.9, 11.2. HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_{12}H_{16}N_2ONa$ 227.1155; Found: 227.1152.

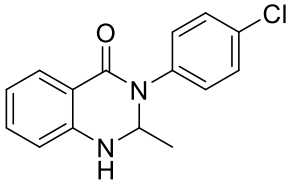


3-(4-methoxyphenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3j)[6]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (260 mg, 97%). ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.67 (d, J = 7.6 Hz, 1H), 7.30 (t, J = 7.0 Hz, 1H), 7.22 (d, J = 8.8 Hz, 2H), 6.98 (d, J = 8.8 Hz, 2H), 6.93 (s, 1H), 6.77 (d, J = 8.1 Hz, 1H), 6.72 (t, J = 7.5 Hz, 1H), 5.18 (d, J = 5.6 Hz, 1H), 3.78 (s, 3H), 1.24 (d, J = 5.7 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.3, 157.8, 147.3, 133.4, 132.9, 129.1, 127.9, 117.3, 115.0, 114.7, 114.1, 67.7, 55.3, 20.2. HRMS (ESI-TOF) m/z: $[M+K]^+$ Calcd for $C_{16}H_{16}N_2O_2K$ 307.0843; Found: 307.0846.

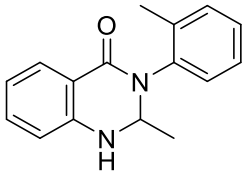


3-(4-fluorophenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3k): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (230 mg, 90%). ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.68 (d, J = 7.8 Hz, 1H), 7.41 – 7.34 (m, 2H), 7.32 (t, J = 7.6 Hz, 1H), 7.26 (t, J = 8.7 Hz, 2H), 6.99 (s, 1H), 6.78 (d, J = 7.9 Hz, 1H), 6.74 (t, J = 7.2 Hz, 1H), 5.30 – 5.18 (m, 1H), 1.24 (dd, J = 5.9, 2.2 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.3, 160.4 (d, J = 244.4 Hz), 147.4, 136.3 (d, J = 2.5 Hz), 133.61, 129.9 (d, J = 7.6 Hz), 128.0, 117.4, 115.8, 115.6, 114.8, 67.5, 20.2. ^{19}F NMR (470 MHz,

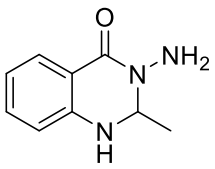
DMSO-d_6, TMS) δ -112.66. HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_{15}H_{13}FN_2ONa$ 279.0904; Found: 279.0910.



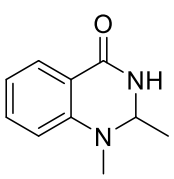
3-(4-chlorophenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3l)[7]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (254 mg, 93%). ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.68 (d, J = 7.7 Hz, 1H), 7.49 (d, J = 8.2 Hz, 2H), 7.37 (d, J = 8.2 Hz, 2H), 7.32 (t, J = 7.7 Hz, 1H), 7.01 (s, 1H), 6.79 (d, J = 8.2 Hz, 1H), 6.74 (t, J = 7.5 Hz, 1H), 5.28 (q, J = 5.7 Hz, 1H), 1.26 (d, J = 5.9 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.2, 147.3, 139.0, 133.7, 130.9, 129.4, 128.9, 128.0, 117.4, 114.9, 114.7, 67.4, 20.2. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{15}H_{14}ClN_2O$ 273.0789; Found: 273.0792.



2-methyl-3-(o-tolyl)-2,3-dihydroquinazolin-4(1H)-one (3m)[8]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (237 mg, 94%). m.p.=193-195 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.67 (t, J = 7.4 Hz, 1H), 7.36 – 7.17 (m, 5H), 6.94 (d, J = 14.2 Hz, 1H), 6.80 (d, J = 8.1 Hz, 1H), 6.74 (t, J = 7.4 Hz, 1H), 4.97 (q, J = 6.1, 5.1 Hz, 1H), 2.22 (s, 2H), 2.16 (s, 1H), 1.28 (d, J = 5.9 Hz, 2H), 1.13 (d, J = 5.9 Hz, 1H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.0, 161.6, 148.1, 147.5, 139.0, 138.9, 136.9, 135.6, 133.4, 133.4, 130.8, 130.4, 130.1, 128.0, 127.9, 127.8, 127.7, 127.4, 126.8, 126.3, 117.5, 117.4, 115.4, 115.1, 114.9, 114.5, 67.4, 67.4, 20.0, 18.1, 17.5. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{16}H_{17}N_2O$ 253.1335; Found: 253.1338.

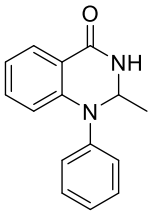


3-amino-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3n)[9]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (120 mg, 68%). m.p.=95-97 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) ^{1}H NMR (500 MHz, DMSO-d_6) δ 7.59 (d, J = 7.7 Hz, 1H), 7.23 (t, J = 7.5 Hz, 1H), 6.78 (s, 1H), 6.67 (d, J = 7.4 Hz, 2H), 4.86 (m, 3H), 1.35 (d, J = 5.1 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.4, 146.7, 133.0, 127.1, 117.0, 114.2, 113.7, 68.4, 19.3. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_{12}N_3O$ 178.0975; Found: 178.0976.

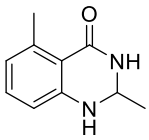


1,2-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3o)[10]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (169 mg, 96%). m.p.=138-140 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.18 (s, 1H), 7.67 (d, J = 7.6 Hz, 1H), 7.45 – 7.31 (m, 1H), 6.75 (t, J = 7.4 Hz, 1H), 6.69 (d, J = 8.2 Hz, 1H), 4.69 (dd, J = 5.9, 3.9 Hz, 1H), 2.81 (s, 3H),

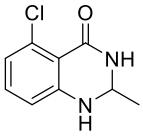
1.18 (d, J = 5.9 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 162.4, 147.3, 133.6, 127.4, 117.0, 116.1, 112.3, 66.7, 34.2, 18.0. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{10}H_{13}N_2O$ 177.1022; Found: 177.1023.



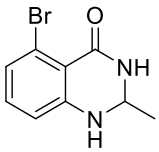
2-methyl-1-phenyl-2,3-dihydroquinazolin-4(1H)-one (3p)[11]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/30) to give the product as a white solid (164 mg, 69%). m.p.=148-150 °C; ^1H NMR (500 MHz, DMSO-d_6, TMS) δ 8.31 (s, 1H), 7.80 (d, J = 7.7 Hz, 1H), 7.42 (t, J = 7.9 Hz, 2H), 7.31 (t, J = 7.8 Hz, 1H), 7.23-7.20 (m, 3H), 6.92 (t, J = 7.5 Hz, 1H), 6.62 (d, J = 8.4 Hz, 1H), 5.23 – 5.13 (m, 1H), 1.31 (d, J = 6.0 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 162.42, 145.31, 143.99, 133.05, 129.82, 127.74, 125.10, 124.30, 119.75, 118.75, 117.09, 66.52, 21.47. HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_{15}H_{14}N_2ONa$ 261.0998; Found: 261.0992.



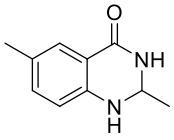
2,5-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3s): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (172 mg, 98%). m.p.=208-210 °C; ^1H NMR (500 MHz, DMSO-d_6, TMS) δ 7.78 (s, 1H), 7.06 (t, J = 7.7 Hz, 1H), 6.56 (d, J = 8.1 Hz, 1H), 6.46 (d, J = 8.2 Hz, 2H), 4.67 (q, J = 5.7 Hz, 1H), 1.28 (d, J = 5.7 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 164.8, 150.2, 140.4, 131.8, 121.0, 113.9, 112.8, 60.2, 21.9, 20.8. HRMS (ESI-TOF) m/z: $[M+K]^+$ Calcd for $C_{10}H_{12}N_2OK$ 215.0587; Found:215.0596.



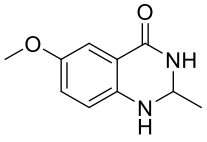
5-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3t): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (180 mg, 82%). m.p.=240-242 °C; ^1H NMR (500 MHz, DMSO-d_6, TMS) δ 8.04 (s, 1H), 7.15 (t, J = 8.0 Hz, 1H), 6.92 (s, 1H), 6.69 (d, J = 7.9 Hz, 2H), 4.70 (q, J = 5.7 Hz, 1H), 1.29 (d, J = 5.8 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 161.7, 151.6, 133.9, 132.7, 120.2, 113.8, 112.4, 59.9, 20.4. HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_9H_9ClN_2ONa$ 219.0296; Found:219.0296.



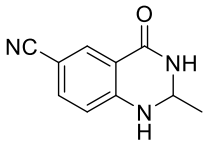
5-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3u): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (228 mg, 86%). m.p.=247-250 °C; ^1H NMR (500 MHz, DMSO-d_6, TMS) δ 8.05 (s, 1H), 7.64 (d, J = 2.2 Hz, 1H), 7.37 (dd, J = 8.6, 2.4 Hz, 1H), 6.85 (s, 1H), 6.67 (d, J = 8.6 Hz, 1H), 4.83 (q, J = 5.7 Hz, 1H), 1.31 (d, J = 5.7 Hz, 3H). ^{13}C NMR (126 MHz, DMSO-d_6, TMS) δ 162.7, 147.7, 135.5, 129.4, 116.7, 116.7, 107.9, 60.7, 21.2. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_{10}BrN_2O$ 240.9971; Found:240.9966.



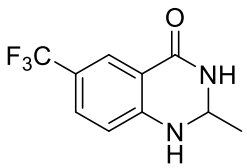
2,6-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3v)[12]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (174 mg, 99%). m.p.=208-210 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.83 (s, 1H), 7.40 (s, 1H), 7.06 (d, J = 8.2 Hz, 1H), 6.61 (d, J = 8.2 Hz, 1H), 6.40 (s, 1H), 4.77 (q, J = 5.6 Hz, 1H), 2.18 (s, 3H), 1.29 (d, J = 5.7 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 164.2, 146.6, 133.8, 127.3, 125.8, 115.2, 114.4, 61.0, 21.2, 20.1. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{10}H_{13}N_2O$ 177.1022; Found:177.1019.



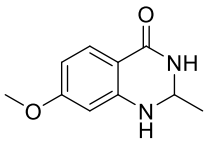
6-methoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3w): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (186 mg, 97%). m.p.=212-214 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.92 (s, 1H), 7.14 (d, J = 2.8 Hz, 1H), 6.91 (dd, J = 8.7, 1.7 Hz, 1H), 6.67 (d, J = 8.7 Hz, 1H), 6.24 (s, 1H), 4.75 (q, J = 5.5 Hz, 1H), 3.68 (s, 3H), 1.29 (d, J = 4.9 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 164.1, 151.5, 143.2, 121.1, 116.0, 115.9, 110.1, 61.2, 55.3, 21.0. HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_{10}H_{12}N_2O_2Na$ 215.0791; Found:215.0791.



2-methyl-4-oxo-1,2,3,4-tetrahydroquinazoline-6-carbonitrile (3x): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (135 mg, 72%). m.p.=215-217 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.19 (s, 1H), 7.87 (s, 1H), 7.62 (s, 1H), 7.58 (d, J = 8.3 Hz, 1H), 6.76 (d, J = 8.5 Hz, 1H), 4.97 (q, J = 6.9 Hz, 1H), 1.33 (d, J = 4.9 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.0, 151.2, 136.2, 132.1, 119.5, 114.9, 114.3, 97.7, 60.5, 21.8. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{10}H_{10}N_3O$ 188.0818; Found:188.0820.

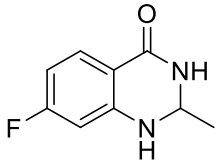


2-methyl-6-(trifluoromethyl)-2,3-dihydroquinazolin-4(1H)-one (3z): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (172 mg, 75%). m.p.=255-257 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.20 (s, 1H), 8.04 (s, 1H), 7.77 (d, J = 8.2 Hz, 1H), 7.40 (s, 1H), 6.77 – 6.65 (m, 1H), 4.93 (d, J = 5.3 Hz, 1H), 1.33 (d, J = 5.5 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 167.3, 163.3, 151.7, 134.3, 129.9, 127.6, 119.1, 113.9, 113.7, 60.7, 21.8. ^{19}F NMR (470 MHz, DMSO-d_6, TMS) δ -60.94. HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_{10}H_9F_3N_2ONa$ 253.0559; Found: 253.0559.

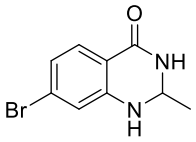


7-methoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ab): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (186 mg, 97%). m.p.=229-231 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 7.69 (s, 1H), 7.52 (d, J = 8.4 Hz, 1H), 6.61

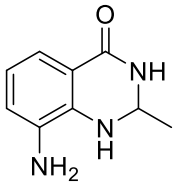
(s, 1H), 6.26 (d, J = 8.6 Hz, 1H), 6.19 (s, 1H), 4.80 (d, J = 5.2 Hz, 1H), 3.71 (s, 3H), 1.30 (d, J = 4.7 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 164.1, 163.3, 150.4, 129.3, 108.6, 104.8, 97.7, 61.0, 55.0, 21.4. HRMS (ESI-TOF) m/z: $[M+K]^+$ Calcd for $C_{10}H_{12}N_2O_2K$ 231.0530; Found:231.0526.



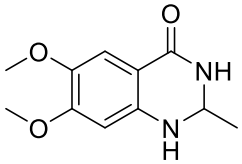
7-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ac): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (122 mg, 68%). m.p.=149-151 °C; ^1H NMR (500 MHz, DMSO-d_6, TMS) δ 7.89 (s, 1H), 7.59 (t, J = 7.5 Hz, 1H), 6.87 (s, 1H), 6.41 (td, J = 11.0, 3.9 Hz, 2H), 4.82 (q, J = 5.7 Hz, 1H), 1.27 (d, J = 5.8 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 165.5 (J = 139.4 Hz), 163.3, 150.6 (J = 12.6 Hz), 130.4 (J = 12.6 Hz), 111.8 (J = 2.5 Hz), 104.4 (J = 12.6 Hz), 100.0 (J = 25.2 Hz), 60.9, 21.4. ^{19}F NMR (470 MHz, DMSO-d_6, TMS) δ -103.91. HRMS (ESI-TOF) m/z: $[M+K]^+$ Calcd for $C_9H_9FN_2OK$ 219.0330; Found:219.0334.



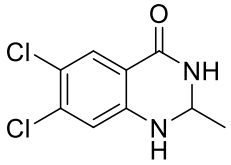
7-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ad): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (200 mg, 83%). m.p.=135-137 °C; ^1H NMR (500 MHz, DMSO-d_6, TMS) δ 8.01 (s, 1H), 7.50 (d, J = 8.2 Hz, 1H), 6.97 – 6.77 (m, 3H), 4.86 (q, J = 5.8 Hz, 1H), 1.31 (d, J = 5.8 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 163.2, 149.7, 129.5, 126.6, 119.9, 116.3, 114.1, 60.8, 21.4. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_{10}BrN_2O$ 240.9971; Found:240.9980.



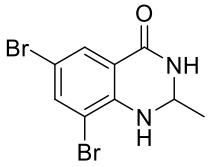
8-amino-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ae): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (166 mg, 94%). m.p.=141-143 °C; ^1H NMR (500 MHz, DMSO-d_6, TMS) δ 7.83 (s, 1H), 6.99 (d, J = 7.8 Hz, 1H), 6.66 (d, J = 7.6 Hz, 1H), 6.53 (t, J = 7.7 Hz, 1H), 5.55 (s, 1H), 4.77 (m, 3H), 1.36 (d, J = 5.8 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 165.0, 135.8, 135.2, 118.0, 117.0, 116.3, 115.7, 60.8, 21.0. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_{12}N_3O$ 178.0975; Found: 178.0975.



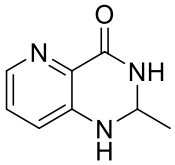
6,7-dimethoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3af): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (206 mg, 93%). m.p.=251-253 °C; ^1H NMR (500 MHz, DMSO-d_6, TMS) δ 7.66 (s, 1H), 7.09 (s, 1H), 6.29-6.25 (m, 2H), 4.75 (q, J = 5.7 Hz, 1H), 3.73 (s, 3H), 3.67 (s, 3H), 1.29 (d, J = 5.7 Hz, 3H). $^{13}C\{^1H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 164.4, 153.7, 144.6, 141.6, 110.0, 106.9, 97.9, 61.4, 55.8, 55.4, 21.1. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{11}H_{15}N_2O_3$ 223.1077; Found:223.1062.



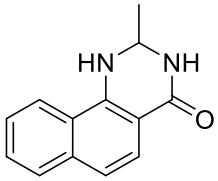
6,7-dichloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ag): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (187 mg, 81%). m.p.=249-251 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.17 (d, J = 3.2 Hz, 1H), 7.65 (s, 1H), 7.04 (s, 1H), 6.91 (s, 1H), 4.88 (q, J = 5.5 Hz, 1H), 1.31 (d, J = 5.7 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.0, 148.0, 135.3, 128.7, 118.5, 115.5, 115.2, 60.8, 21.4. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_9Cl_2N_2O$ 231.0086; Found:231.0087.



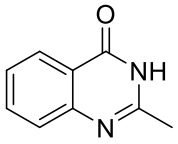
6,8-dibromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ah): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/80) to give the product as a white solid (266 mg, 83%). m.p.=203-205 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.27 (s, 1H), 7.76 (s, 1H), 7.70 (s, 1H), 6.37 (s, 1H), 4.88 (m, 1H), 1.37 (d, J = 5.3 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 161.4, 144.6, 137.6, 129.3, 117.8, 108.7, 107.8, 60.8, 21.7. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_9H_9Br_2N_2O$ 318.0976; Found:318.0976.



2-methyl-2,3-dihydropyrido[3,2-d]pyrimidin-4(1H)-one (3ai): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (112 mg, 69%). m.p.=217-219 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.11 (s, 1H), 7.75 (dd, J = 8.3, 4.3 Hz, 1H), 7.23-7.21 (m, 1H), 7.11 (dd, J = 8.3, 1.5 Hz, 1H), 6.80 (s, 1H), 4.87 (q, J = 5.8 Hz, 1H), 1.32 (d, J = 5.8 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 162.6, 148.4, 134.8, 132.6, 127.1, 122.2, 60.5, 21.2. HRMS (ESI-TOF) m/z: $[M+K]^+$ Calcd for $C_8H_9N_3OK$ 202.0377; Found:202.0383.

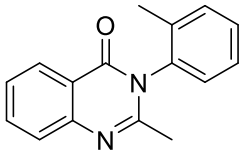


2-methyl-2,3-dihydrobenzo[h]quinazolin-4(1H)-one (3ak): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (208 mg, 98%). m.p.=250-252 ºC; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.23 (d, J = 8.3 Hz, 1H), 7.90 (s, 1H), 7.79 (d, J = 8.6 Hz, 1H), 7.69 (d, J = 8.5 Hz, 1H), 7.58 – 7.53 (m, 1H), 7.49 (td, J = 7.6, 6.9, 1.1 Hz, 1H), 7.23 (s, 1H), 7.17 (d, J = 8.6 Hz, 1H), 4.98 (q, J = 5.8 Hz, 1H), 1.47 (d, J = 5.8 Hz, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 164.7, 145.7, 135.8, 128.2, 128.0, 125.0, 124.0, 122.9, 122.1, 116.5, 108.9, 61.0, 21.1. HRMS (ESI-TOF) m/z: $[M+K]^+$ Calcd for $C_{13}H_{12}N_2OK$ 251.0581; Found: 251.0583.

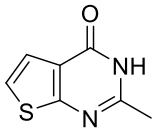


2-methylquinazolin-4(3H)-one (4a)[13]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/30) to give the product as a white solid (157 mg, 95%); ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 8.05 (d, J = 7.7 Hz, 1H), 7.71 (t, J = 6.9 Hz, 1H), 7.53 (d, J = 7.7 Hz, 1H), 7.39 (t, J = 6.9 Hz, 1H), 4.76 (br,

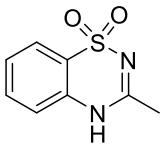
s, 1H), 2.33 (s, 3H). $^{13}C\{^{1}H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 162.9, 155.7, 149.2, 133.7, 126.3, 125.7, 125.3, 120.7, 22.0 HRMS (ESI-TOF) m/z: $[M+Na]^+$ Calcd for $C_9H_8N_2ONa$ 183.0529; Found:183.0537.



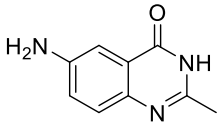
2-methyl-3-(o-tolyl)quinazolin-4(3H)-one (4m)[14]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/30) to give the product as a white solid (235 mg, 94%); ^{1}H NMR (500 MHz, Chloroform-*d*, TMS) δ 8.29 (d, *J* = 7.4 Hz, 1H), 7.78 (t, *J* = 6.9 Hz, 1H), 7.70 (d, *J* = 8.0 Hz, 1H), 7.47 (t, *J* = 7.1 Hz, 1H), 7.43 – 7.34 (m, 3H), 7.16 (d, *J* = 7.2 Hz, 1H), 2.19 (s, 3H), 2.13 (s, 3H). $^{13}C\{^{1}H\}$ NMR (126 MHz, Chloroform-*d*, TMS) δ 161.8, 154.4, 147.8, 136.9, 135.5, 134.7, 131.6, 129.7, 128.0, 127.8, 127.2, 126.9, 126.7, 120.9, 24.0, 17.5. HRMS (ESI-TOF) m/z: $[M+H]^+$ Calcd for $C_{16}H_{14}N_2O$ 251.1179; Found: 251.1172.



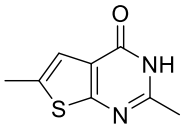
2-methylthieno[2,3-d]pyrimidin-4(3H)-one (4q)[15]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/100) to give the product as a white solid (88 mg, 53%); ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 12.38 (s, 1H), 7.46 (d, *J* = 5.8 Hz, 1H), 7.32 (d, *J* = 5.8 Hz, 1H), 2.36 (s, 3H). $^{13}C\{^{1}H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 164.8, 158.3, 155.1, 122.5, 121.4, 117.1, 21.0. HRMS (ESI-TOF) m/z: $[2M+Na]^+$ Calcd for $C_{14}H_{12}N_4O_2S_2Na$ 355.0294; Found: 355.0293; $[M+K]^+$ Calcd for $C_7H_6N_2OSK$ 204.9832; Found: 204.9838.



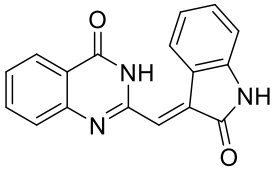
methyl-4H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (4r)[16]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/30) to give the product as a white solid (139 mg, 71%). ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 12.02 (s, 1H), 7.78 (d, *J* = 7.6 Hz, 1H), 7.66 (t, *J* = 7.8 Hz, 1H), 7.42 (t, *J* = 7.1 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 1H), 2.30 (s, 3H). $^{13}C\{^{1}H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 157.2, 135.2, 133.0, 126.2, 123.4, 121.0, 117.2, 22.6. HRMS (ESI-TOF) m/z: $[2M+Na]^+$ Calcd for $C_{16}H_{16}N_4O_4S_2Na$ 415.0505; Found: 415.0503; $[M+H]^+$ Calcd for $C_8H_9N_2O_2S$ 197.0379; Found: 197.0383.



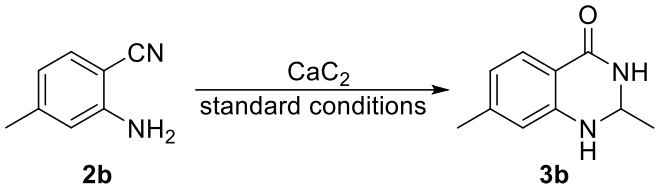
amino-2-methylquinazolin-4(3H)-one (4y')[17]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (124 mg, 71%). m.p.=252-255 °C; ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 11.76 (s, 1H), 7.27 (d, *J* = 8.6 Hz, 1H), 7.13 (d, *J* = 2.5 Hz, 1H), 7.02 (dd, *J* = 8.6, 2.6 Hz, 1H), 5.47 (s, 2H), 2.25 (s, 3H). $^{13}C\{^{1}H\}$ NMR (126 MHz, DMSO-d_6, TMS) δ 161.7, 148.7, 1471, 140.0, 127.3, 122.2, 121.5, 106.2, 21.0. HRMS (ESI-TOF) m/z: $[2M+K]^+$ Calcd for $C_{18}H_{18}N_6O_2K$ 389.1123; Found: 389.1123; $[M+H]^+$ Calcd for $C_9H_{10}N_3O$ 176.0818; Found: 176.0818.



2,6-dimethylthieno[2,3-d]pyrimidin-4(3H)-one (4aj)[18]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/60) to give the product as a grey solid (110 mg, 61%);^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 12.30 (s, 1H), 7.01 (s, 1H), 2.47 (s, 3H), 2.33 (s, 3H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 163.7, 157.8, 154.4, 135.7, 122.4, 118.8, 20.9, 15.4. HRMS (ESI-TOF) m/z: $[2M+Na]^+$ Calcd for $C_{16}H_{16}N_4O_2S_2Na$ 383.0607; Found: 383.0614; $[M+K]^+$ Calcd for $C_8H_8N_2OSK$ 218.9989; Found: 218.9991.

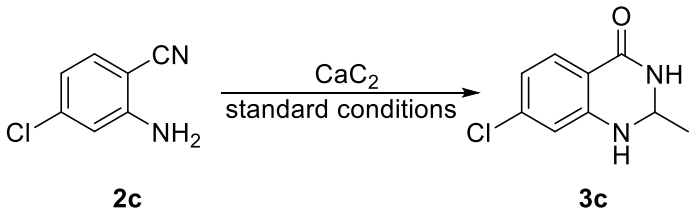


(E)-2-((2-oxoindolin-3-ylidene)methyl)quinazolin-4(3H)-one (5aa)[2]: According to general procedure, the product as an orange solid (2.75 g, 97%), ^{1}H NMR (500 MHz, DMSO-d_6, TMS) δ 14.39 (s, 1H), 11.49 (s, 1H), 8.17 (d, J = 6.4 Hz, 1H), 7.93 (d, J = 7.2 Hz, 1H), 7.90 – 7.85 (m, 1H), 7.79 (d, J = 7.8 Hz, 1H), 7.62-7.57 (m, 2H), 7.36 (t, J = 7.3 Hz, 1H), 7.08 (t, J = 7.3 Hz, 1H), 6.93 (d, J = 7.4 Hz, 1H). ^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6, TMS) δ 168.8, 160.8, 150.4, 148.9, 141.6, 134.6, 134.3, 131.6, 130.0, 128.0, 127.9, 126.0, 123.2, 122.6, 121.9, 121.5, 110.5. HRMS (ESI-TOF) m/z: $[2M+H]^+$ Calcd for $C_{34}H_{23}N_6O_4$ 579.1775; Found: 579.1772; $[M+K]^+$ Calcd for $C_{17}H_{11}N_3O_2K$ 328.0483; Found: 328.0484.



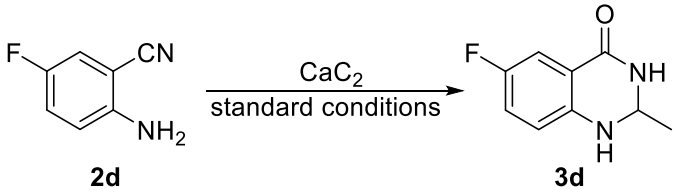
2b **3b**

2,7-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3b): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (173 mg, 98%)



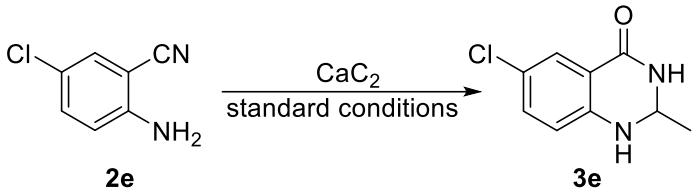
2c **3c**

7-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3c): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (154 mg, 78%)



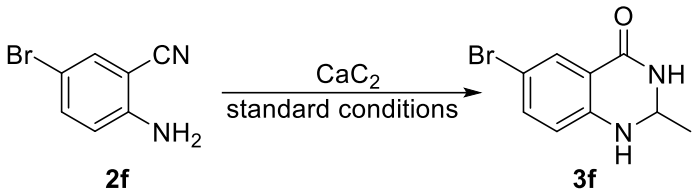
2d **3d**

6-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3d): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (140 mg, 78%)



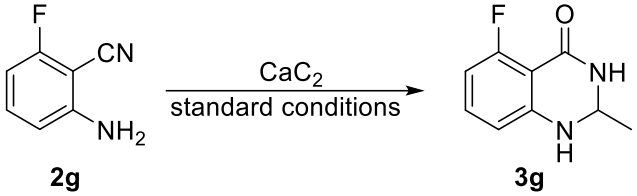
2e **3e**

6-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3e): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (159 mg, 81%)



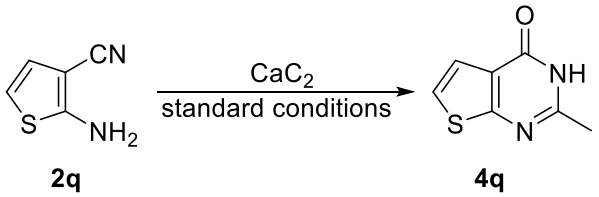
2f **3f**

6-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3f): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (202 mg, 84%)



2g **3g**

5-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3g): According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/40) to give the product as a white solid (139 mg, 77%)



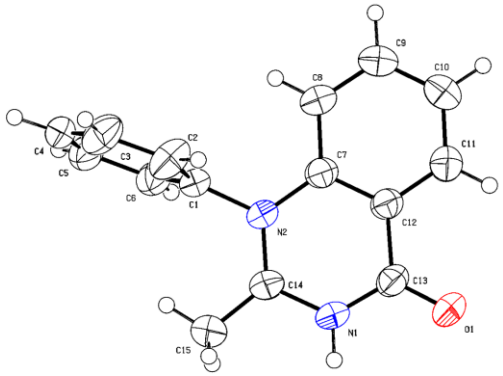
2q **4q**

2-methylthieno[2,3-d]pyrimidin-4(3H)-one (4q)[15]: According to general procedure, the crude residue was purified by flash chromatography (MeOH/CH_2Cl_2 = 1/100) to give the product as a white solid (108 mg, 65%)

8. X-ray Crystallography Data for 3p

Single-crystal X-ray diffraction data for the reported complex was recorded at a temperature of 296.15 K on Bruker APEX-II CCD diffractometer. Basic information pertaining to crystal parameters and structure refinement is summarized in Table S3.

Tabel S3. Crystal data and structure refinement for **3p**



Empirical formula	$C_{15}H_{13}N_2O$
Formula weight	237.27
Temperature/K	296.15
Crystal system	monoclinic
Space group	$P2_1/c$
a/Å	10.114(3)
b/Å	17.036(5)
c/Å	7.5282(19)
α/°	90.00
β/°	108.445(4)
γ/°	90.00
Volume/$Å^3$	1230.5(6)
Z	4
$\rho_{calc}g/cm^3$	1.281
μ/mm^{-1}	0.082
F(000)	500.0
Radiation	MoKα (λ = 0.71073)
2Θ range for data collection/°	4.78 to 54.58
Index ranges	$-12 \le h \le 13$, $-21 \le k \le 21$, $-7 \le l \le 9$
Reflections collected	7117

Independent reflections	2742 [R_{int} = 0.0283, R_{sigma} = 0.0357]
Data/restraints/parameters	2742/6/164
Goodness-of-fit on F^2	1.055
Final R indexes [I>=2σ (I)]	R_1 = 0.0684, wR_2 = 0.2004
Final R indexes [all data]	R_1 = 0.0894, wR_2 = 0.2214
Largest diff. peak/hole / e $Å^{-3}$	0.49/-0.43

Crystallization: Crystals of compound **3p** suitable for X-ray analysis were grown from the solvent of ethyl acetate/petroleum ether by slow evaporation method.

8. References

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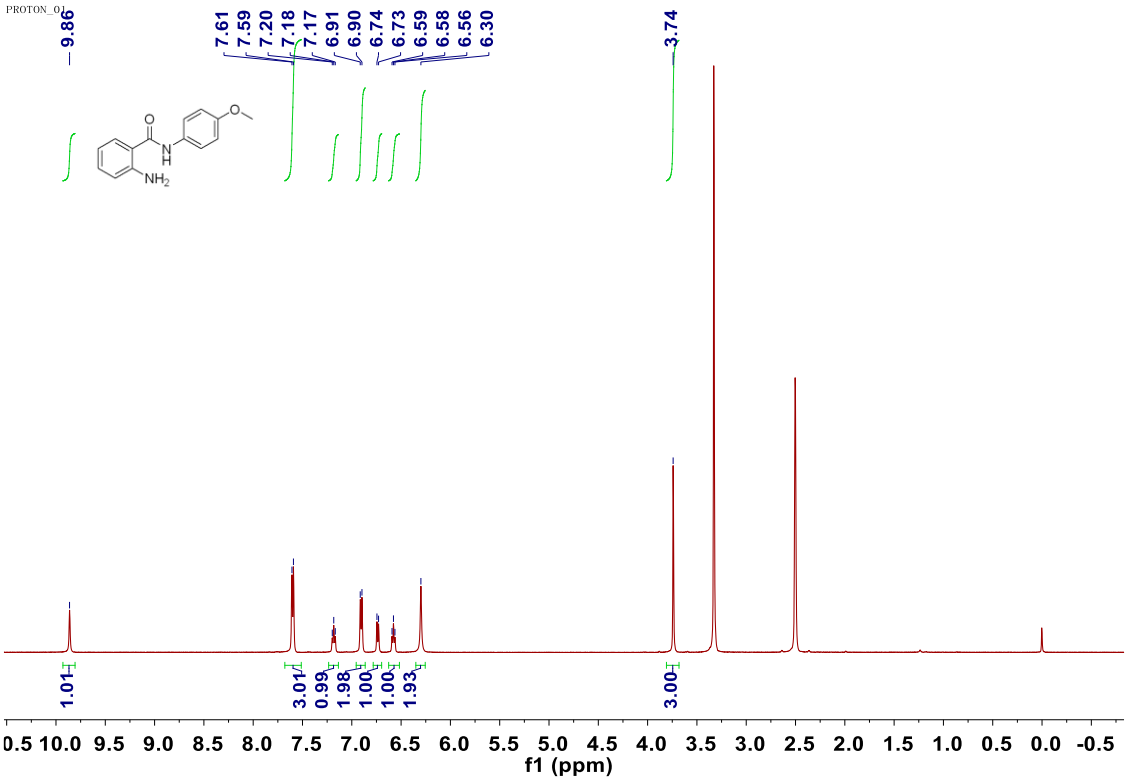
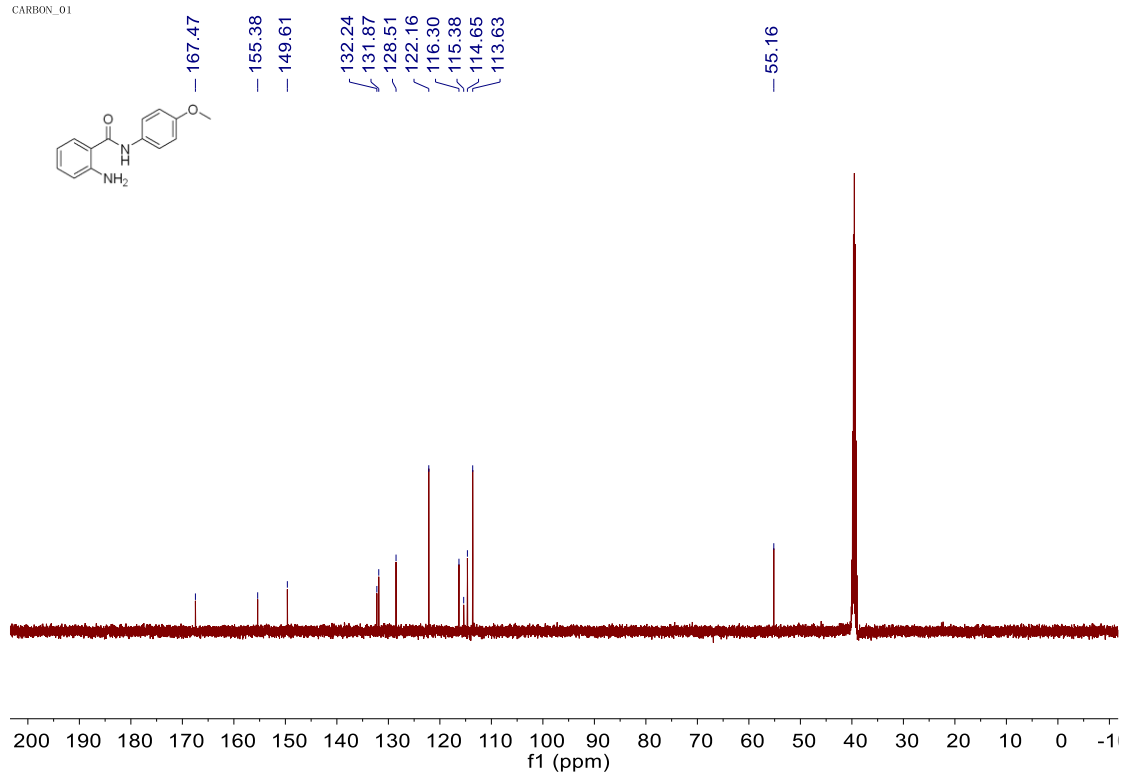
9. Spectral Data and Characterization

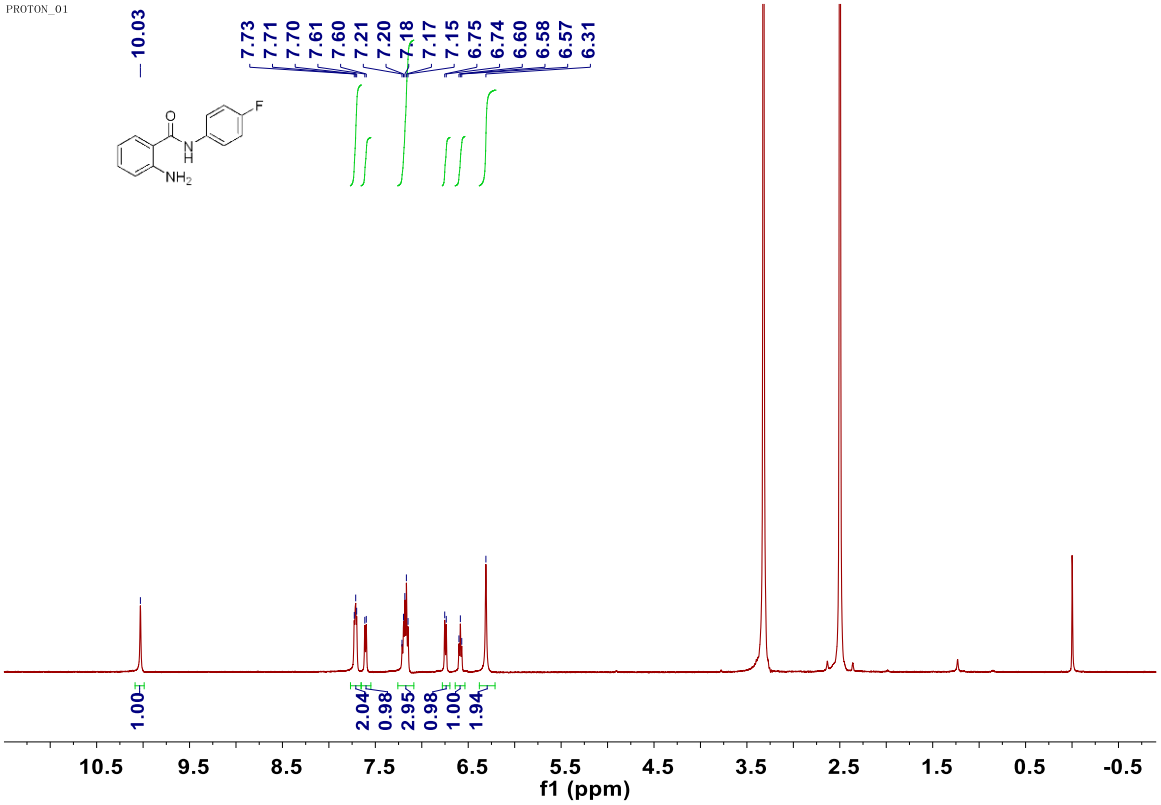
^{1}H NMR (500 MHz, DMSO-*d*$_6$) of 2-amino-N-(4-methoxyphenyl)benzamide (1j)



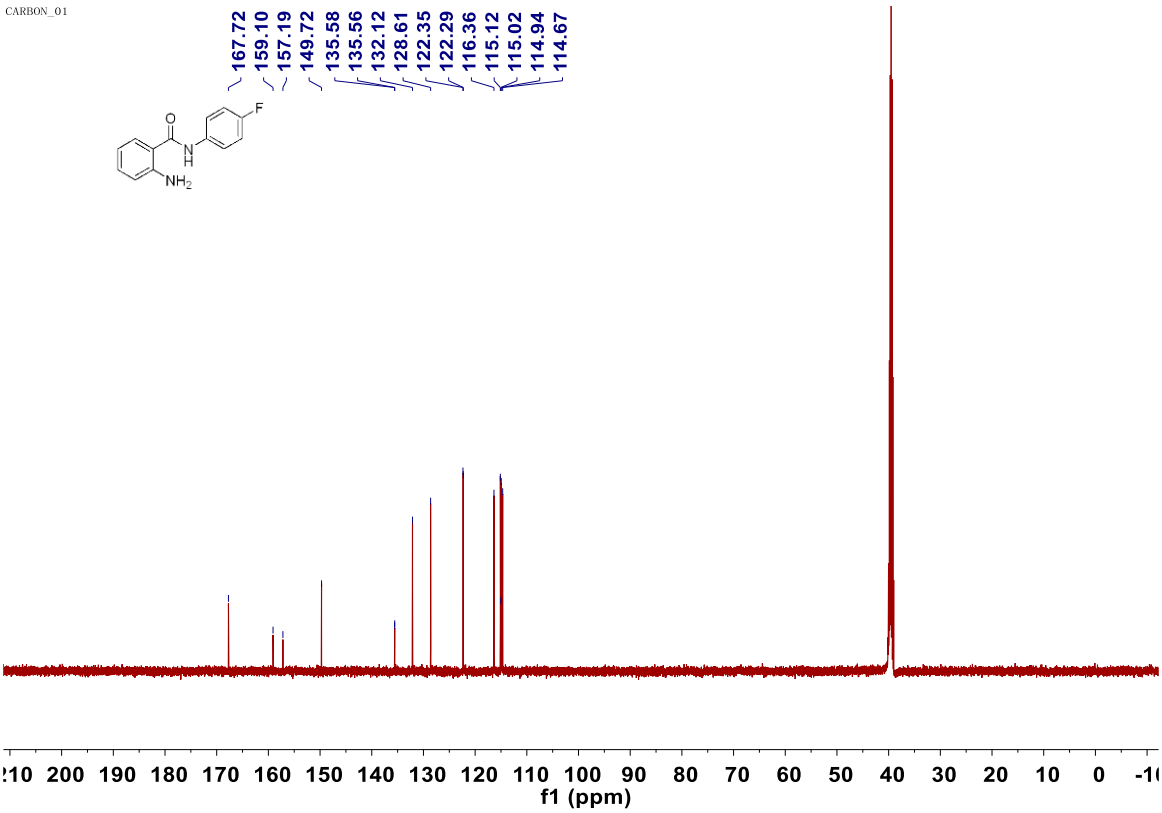
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) of 2-amino-N-(4-methoxyphenyl)benzamide (1j)



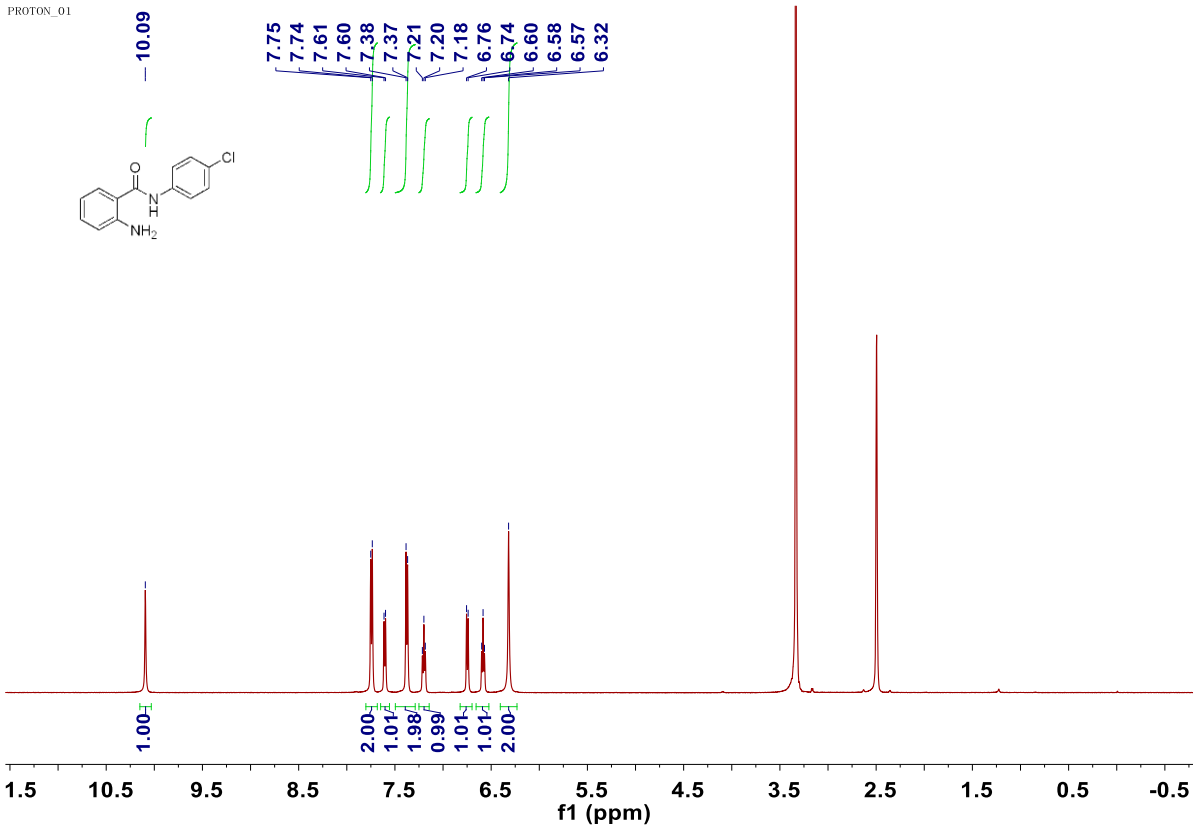
^{1}H NMR (500 MHz, DMSO-d_6) of 2-amino-N-(4-fluorophenyl)benzamide (1k)



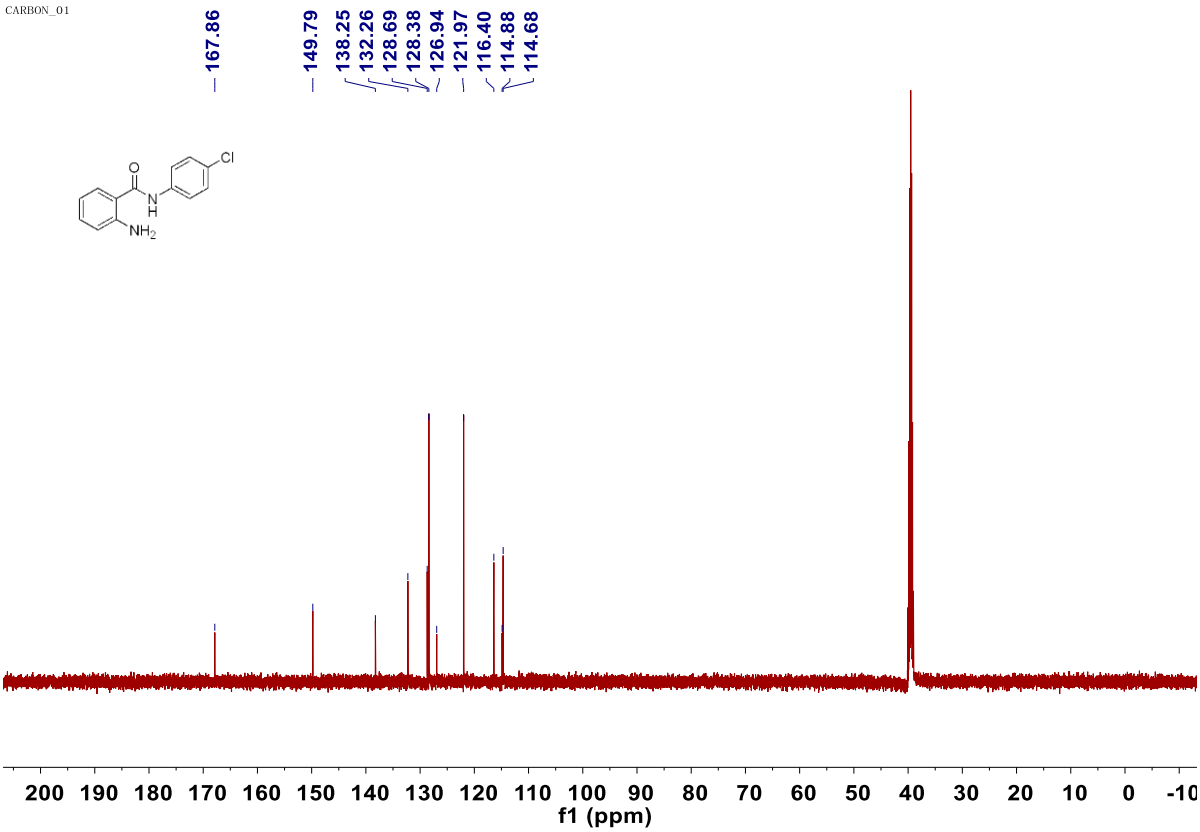
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-amino-N-(4-fluorophenyl)benzamide (1k)



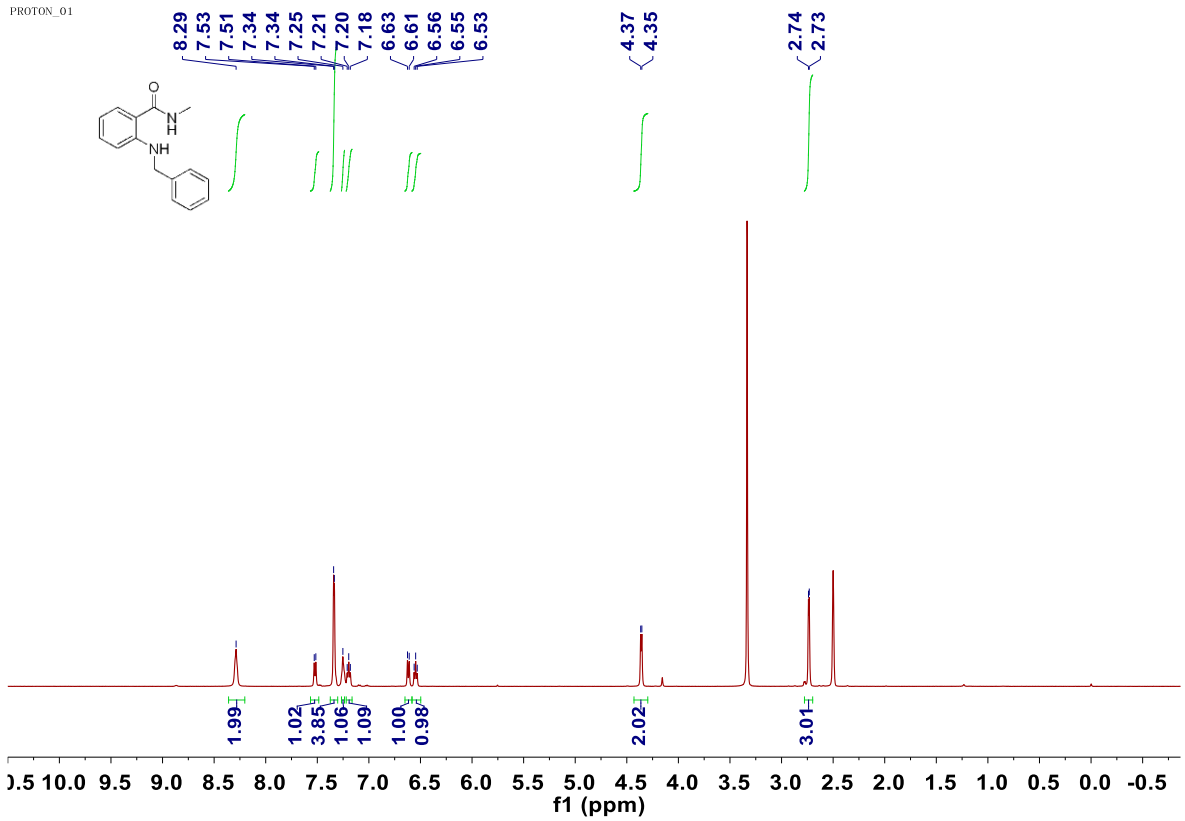
^{1}H NMR (500 MHz, DMSO-d_6) of 2-amino-N-(4-chlorophenyl)benzamide (1l)



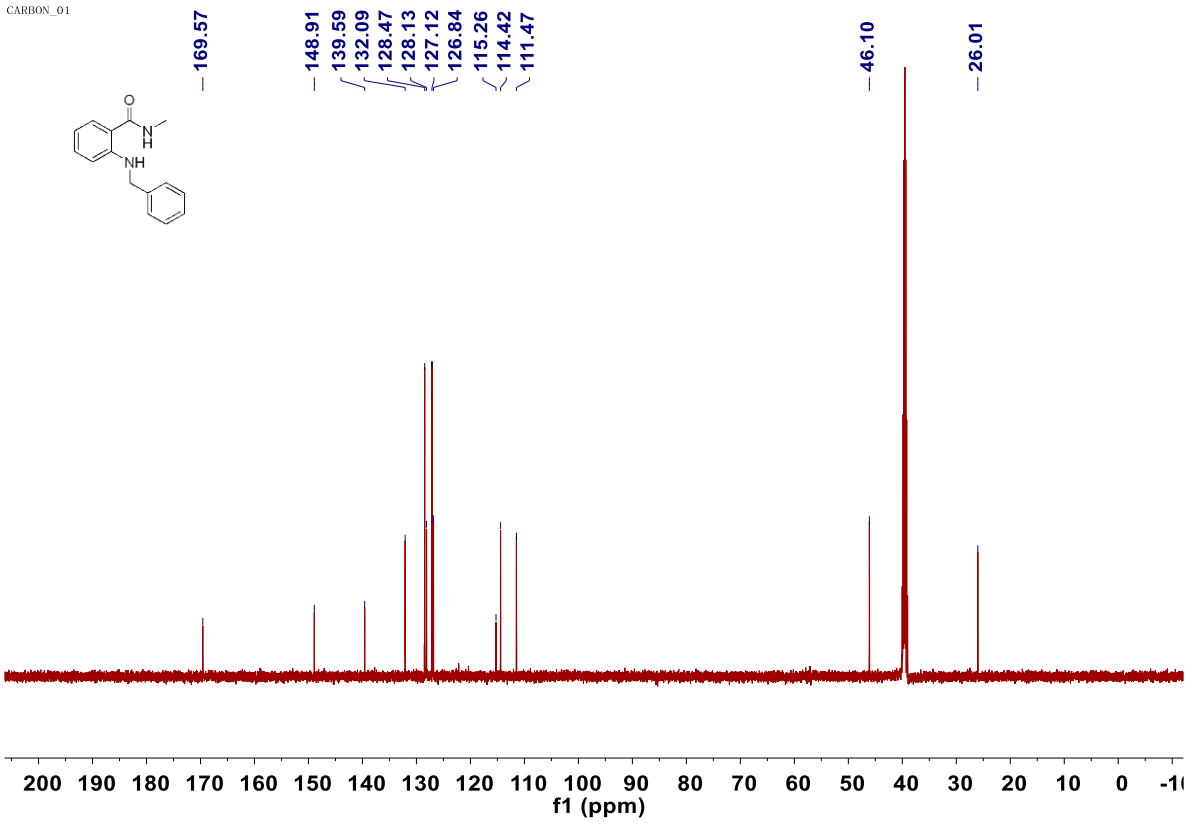
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-amino-N-(4-chlorophenyl)benzamide (1l)



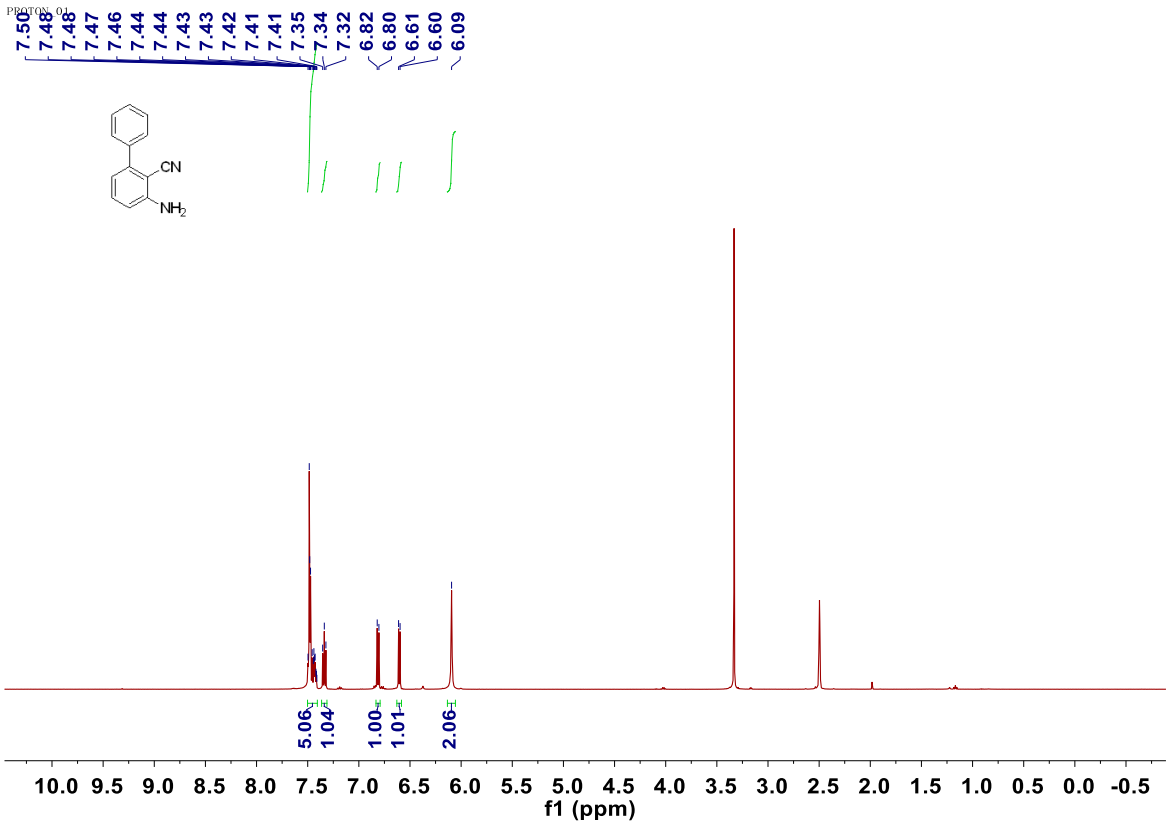
^{1}H NMR (500 MHz, DMSO-d_6) of 2-(benzylamino)-N-methylbenzamide (1ha)



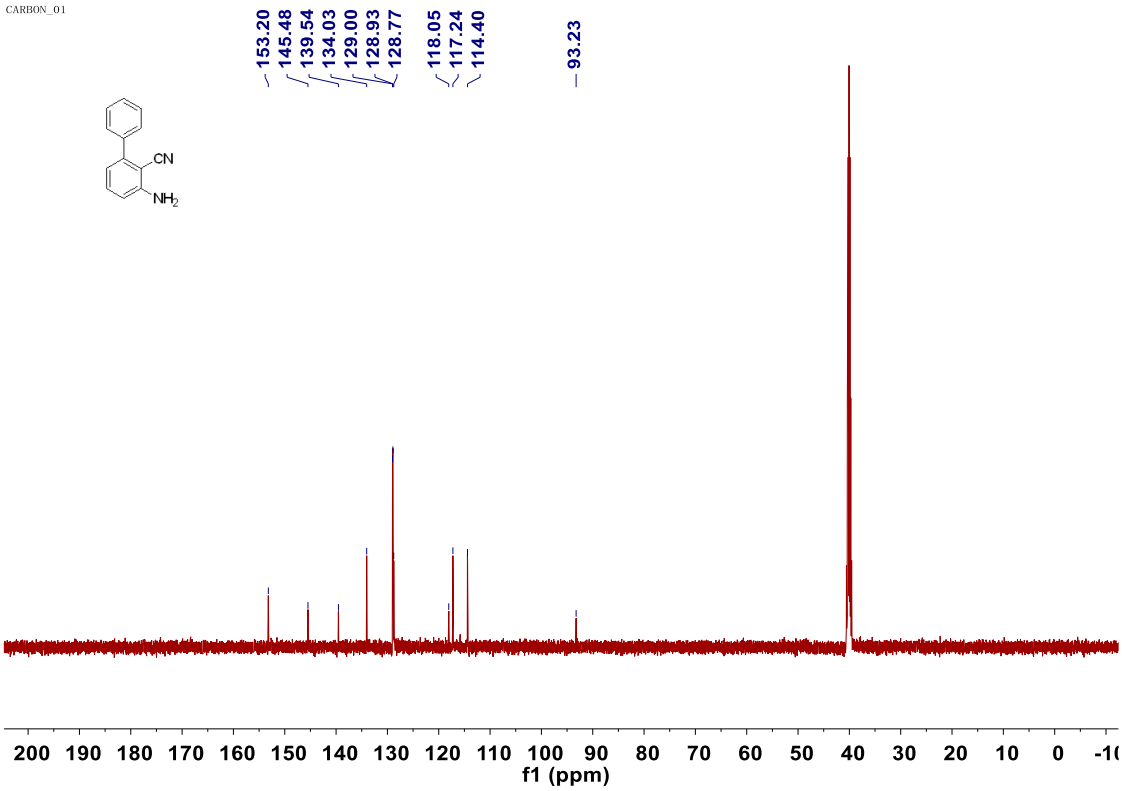
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-(benzylamino)-N-methylbenzamide (1ha)



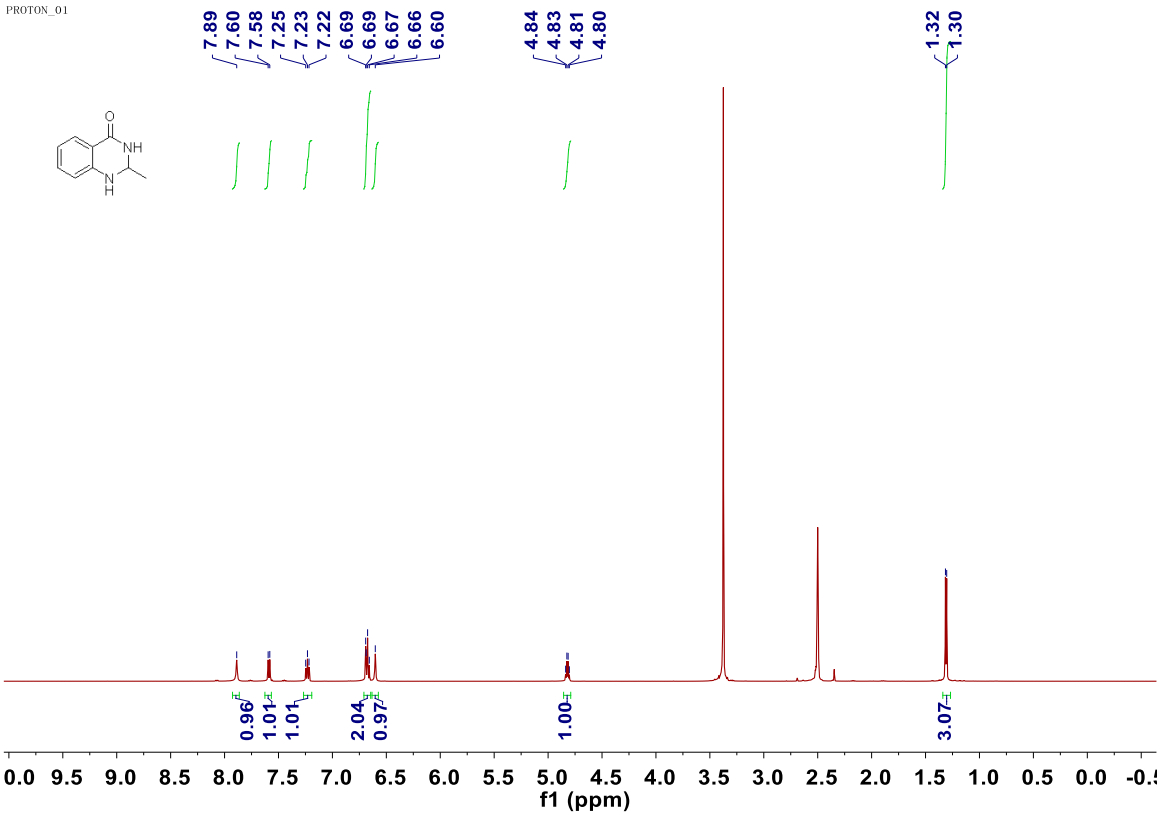
^{1}H NMR (500 MHz, DMSO-*d*$_6$) of 3-amino-[1,1'-biphenyl]-2-carbonitrile (2am)



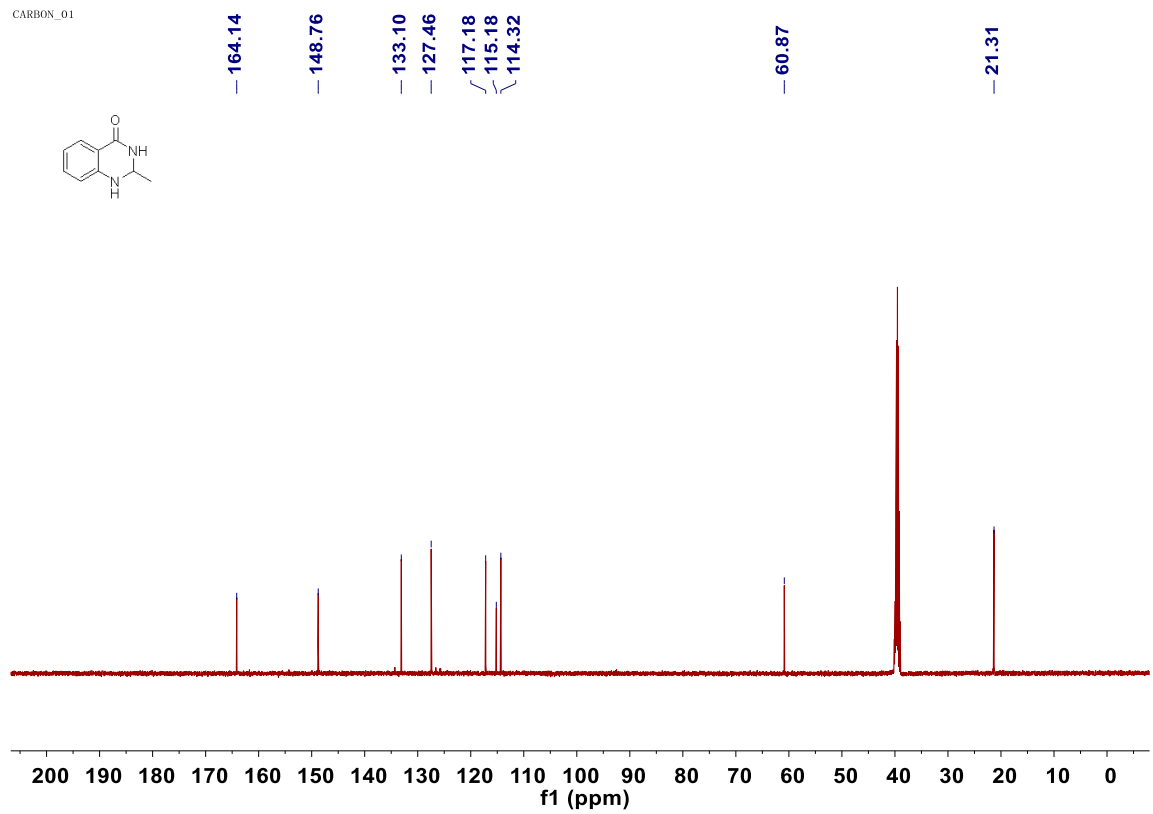
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) of 3-amino-[1,1'-biphenyl]-2-carbonitrile (2am)



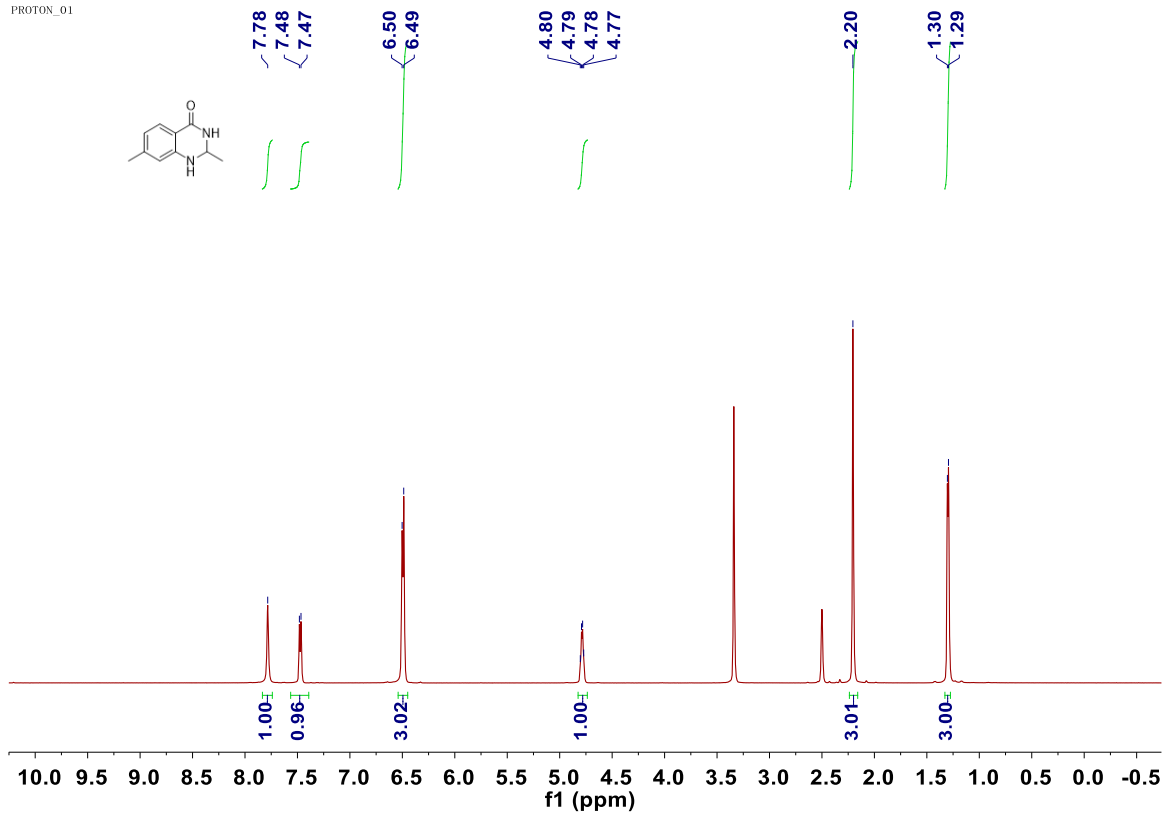
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methyl-2,3-dihydroquinazolin-4(1H)-one (3a)



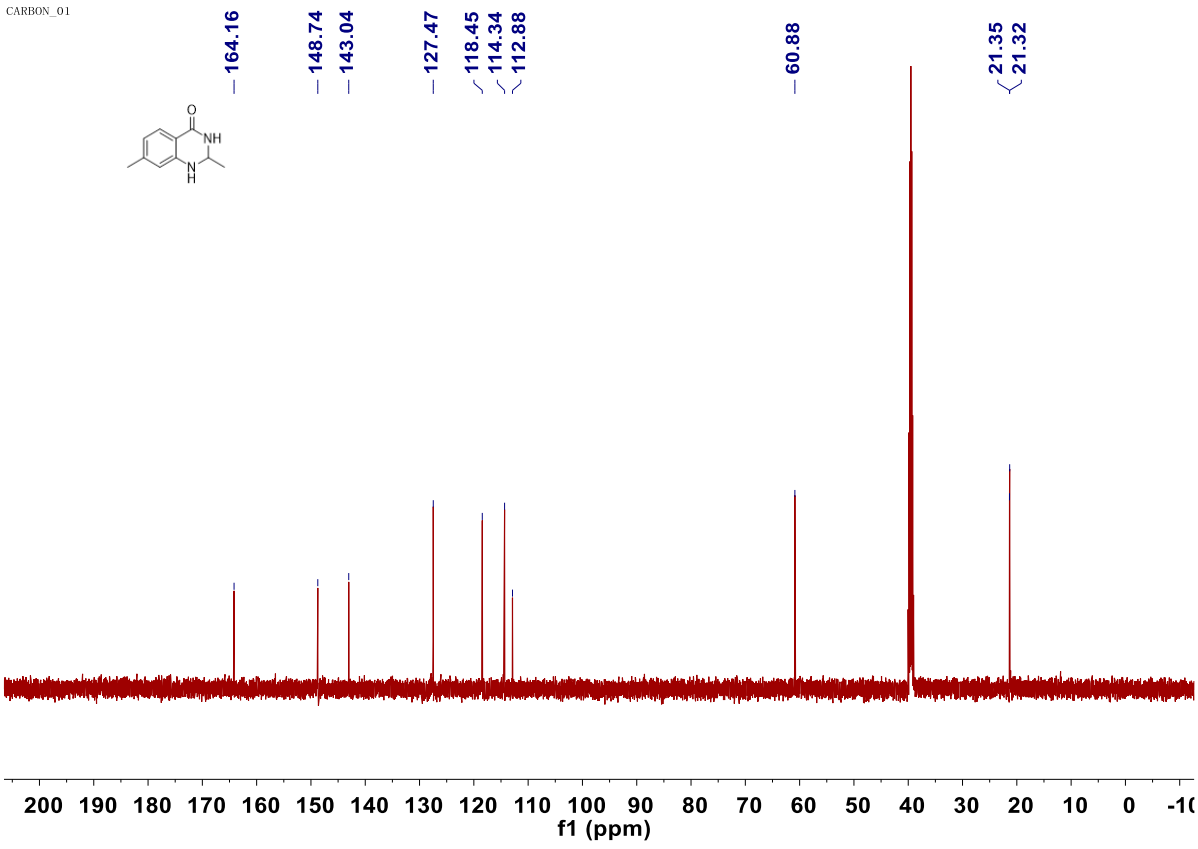
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methyl-2,3-dihydroquinazolin-4(1H)-one (3a)



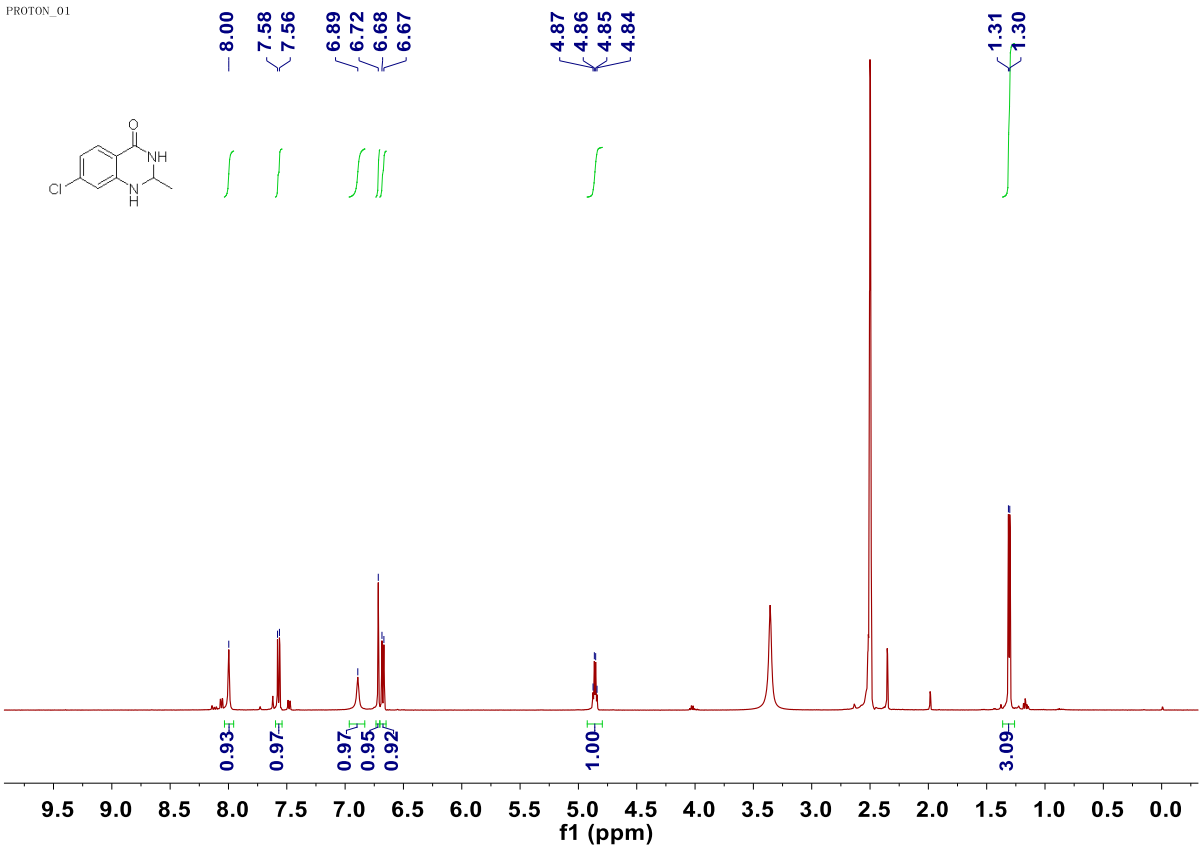
^{1}H NMR (500 MHz, DMSO-d_6) of 2,7-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3b)



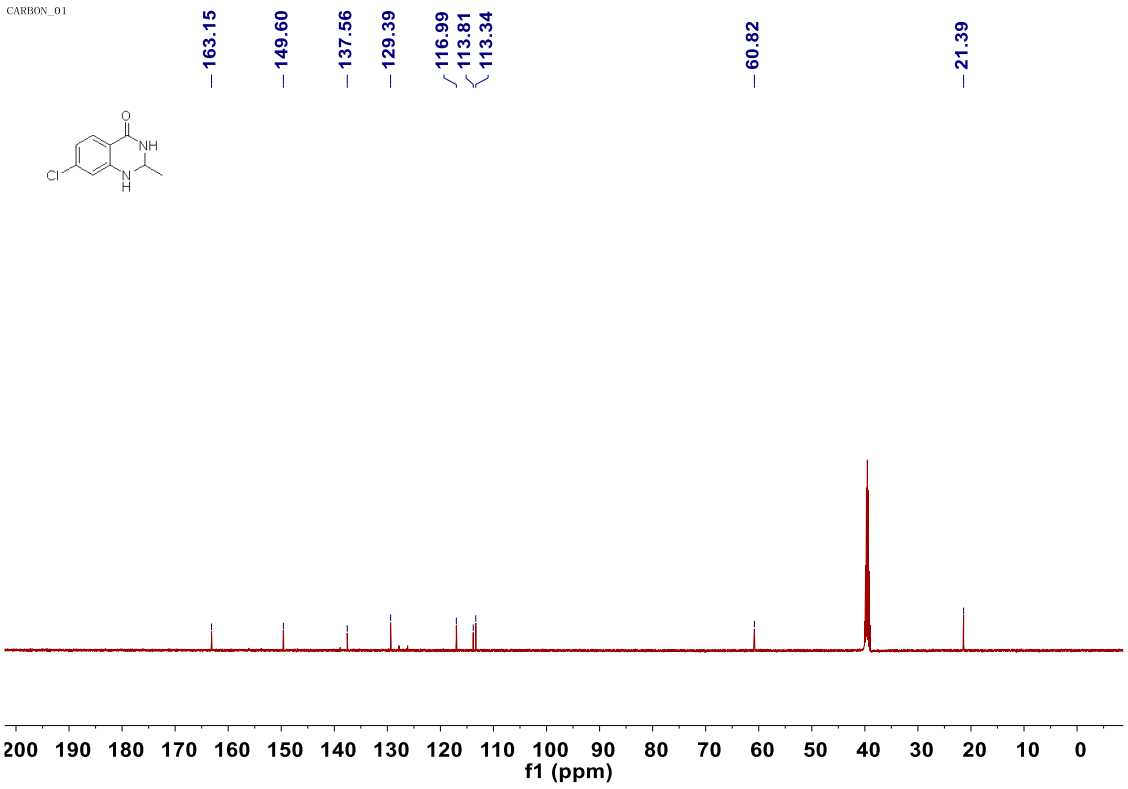
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2,7-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3b)



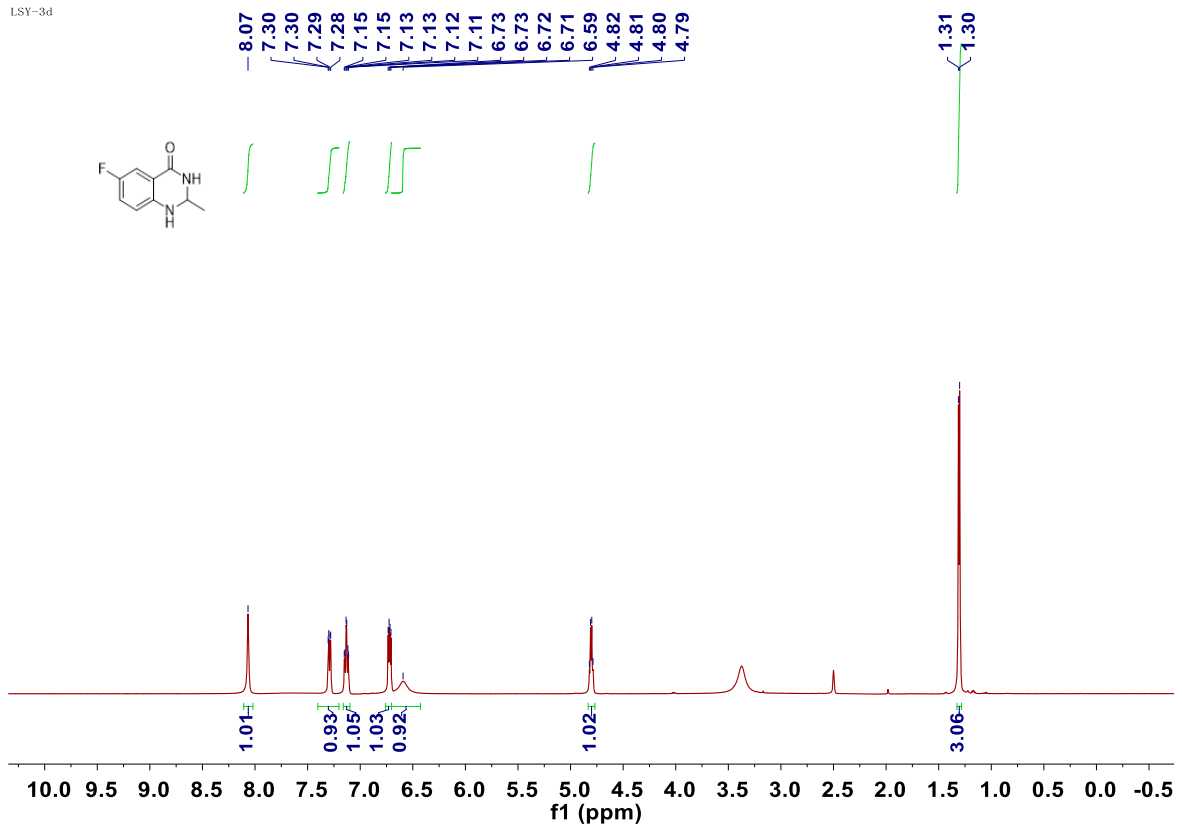
^{1}H NMR (500 MHz, DMSO-d_6) of 7-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3c)



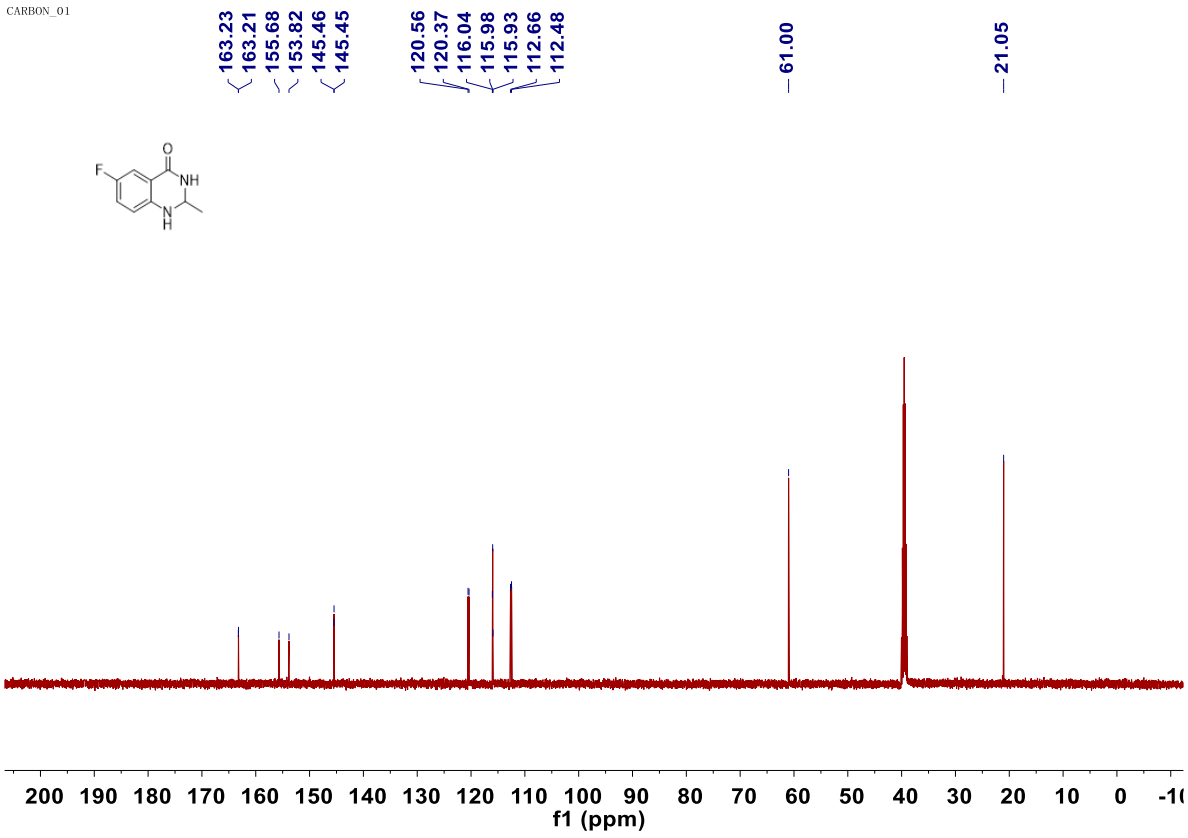
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 7-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3c)



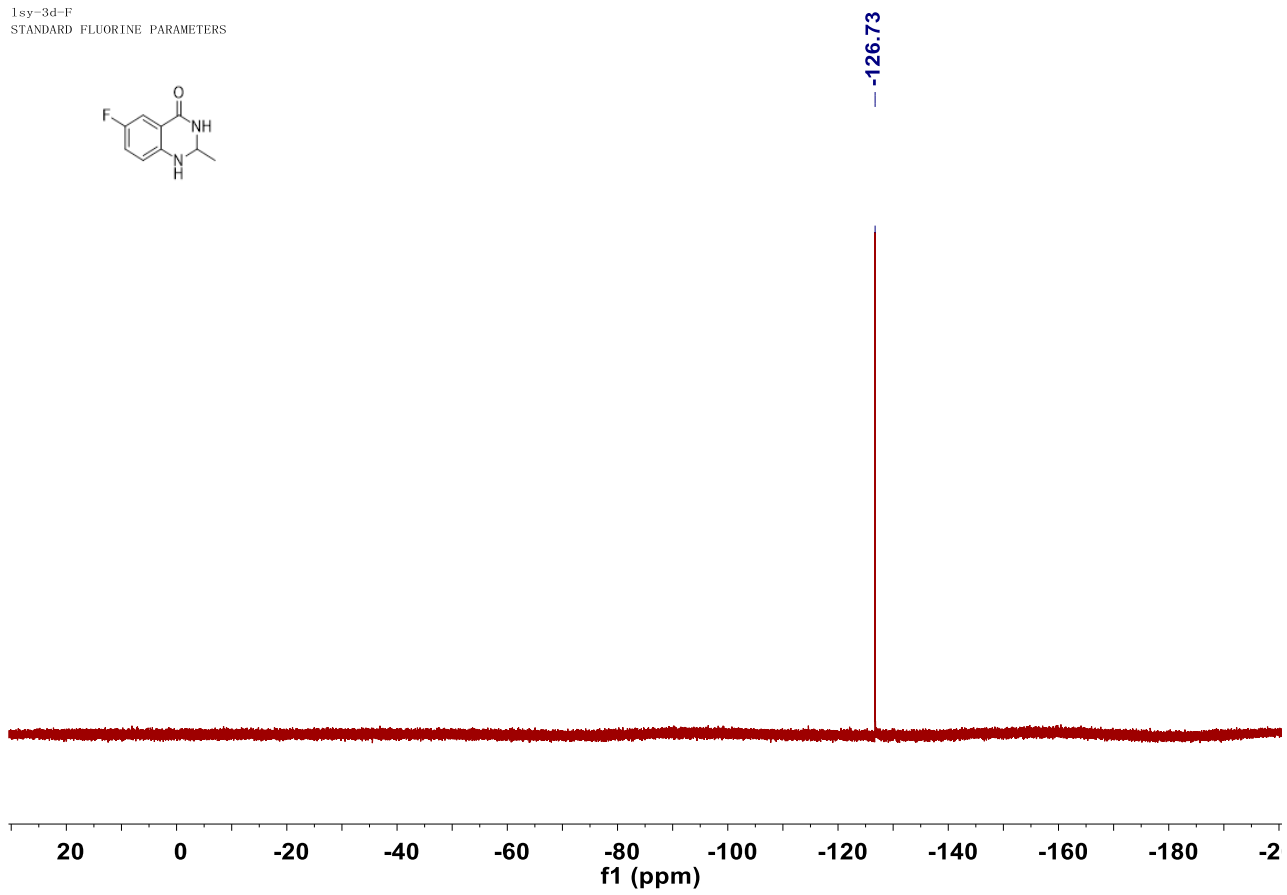
^{1}H NMR (500 MHz, DMSO-d_6) of 6-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3d)



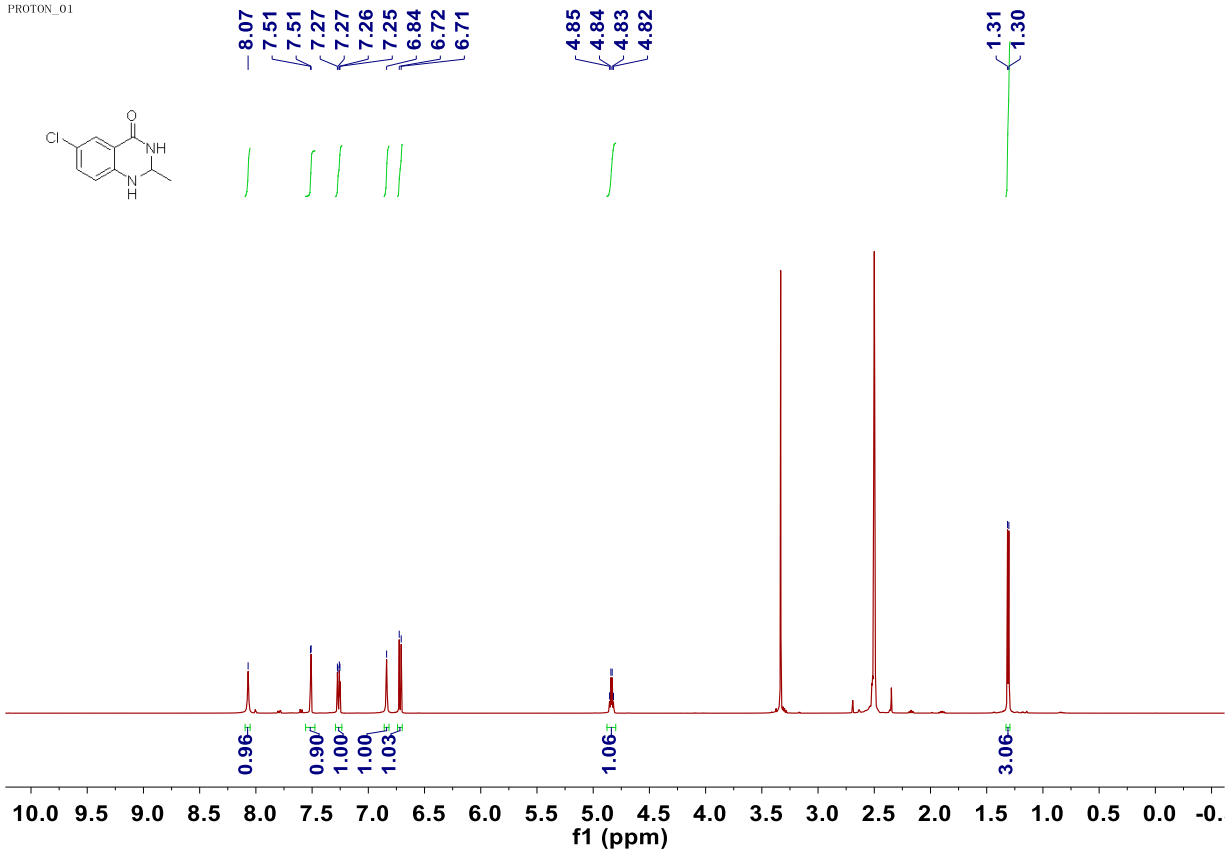
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 6-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3d)



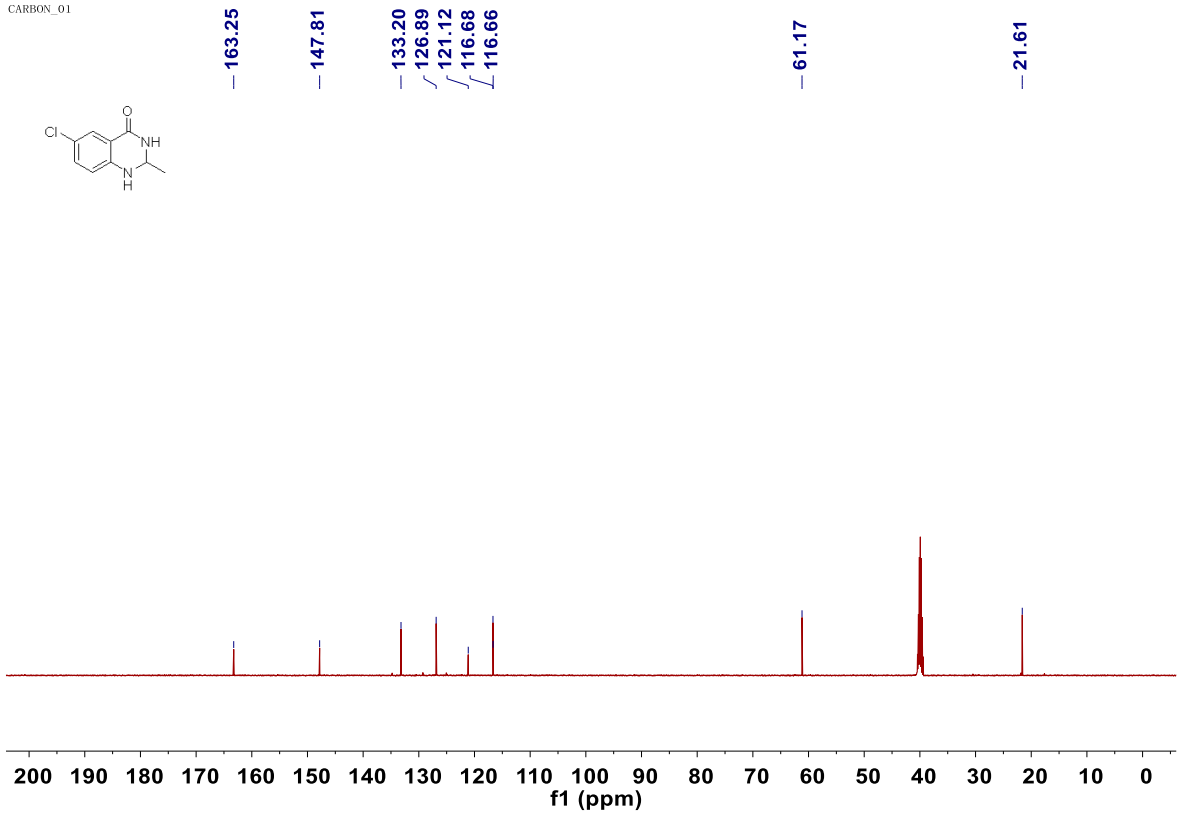
^{19}F NMR (470 MHz, DMSO-d_6) of 6-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3d)



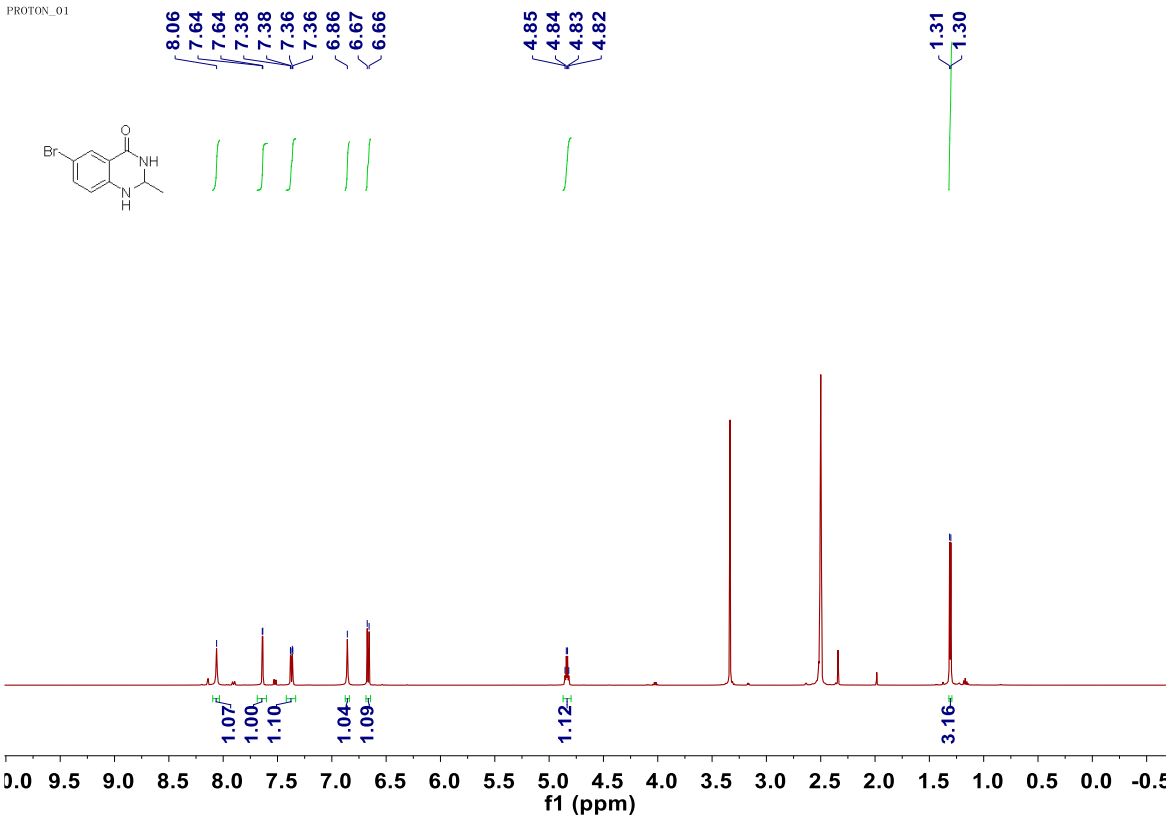
^{1}H NMR (500 MHz, DMSO-d_6) of 6-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3e)



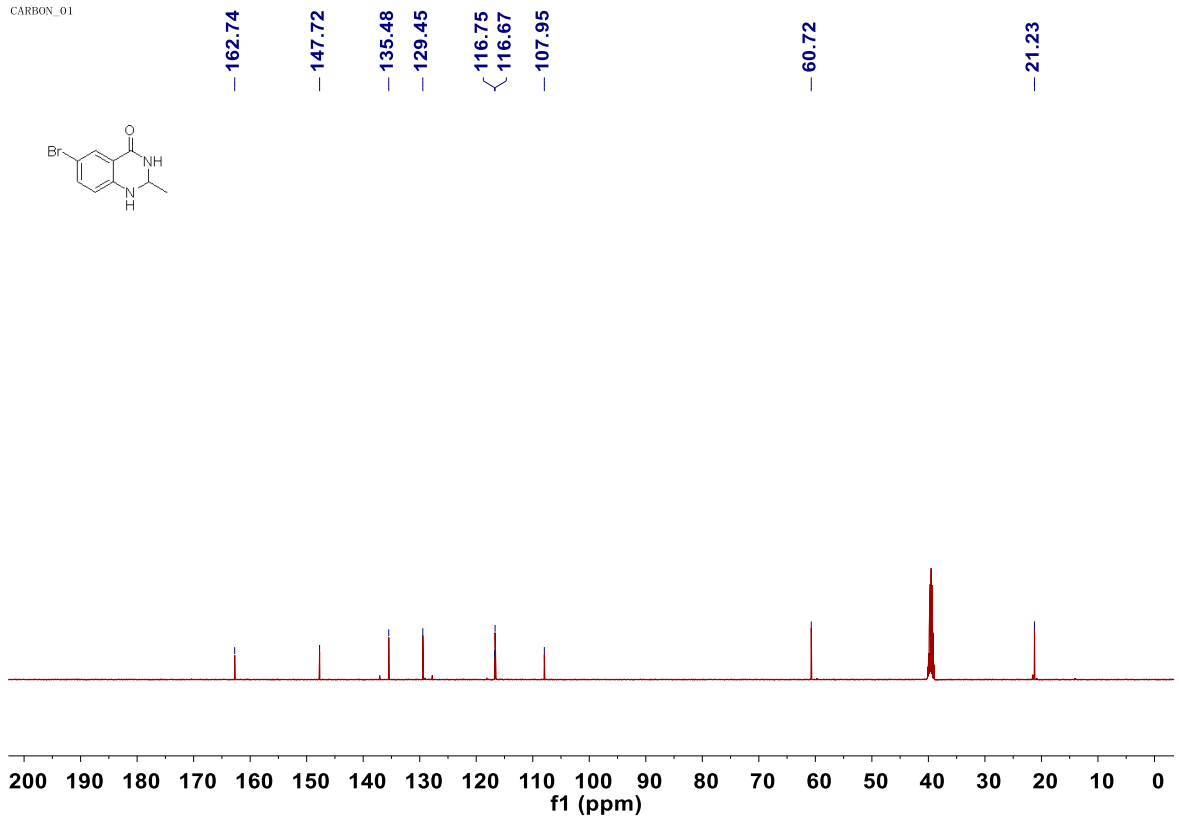
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 6-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3e)



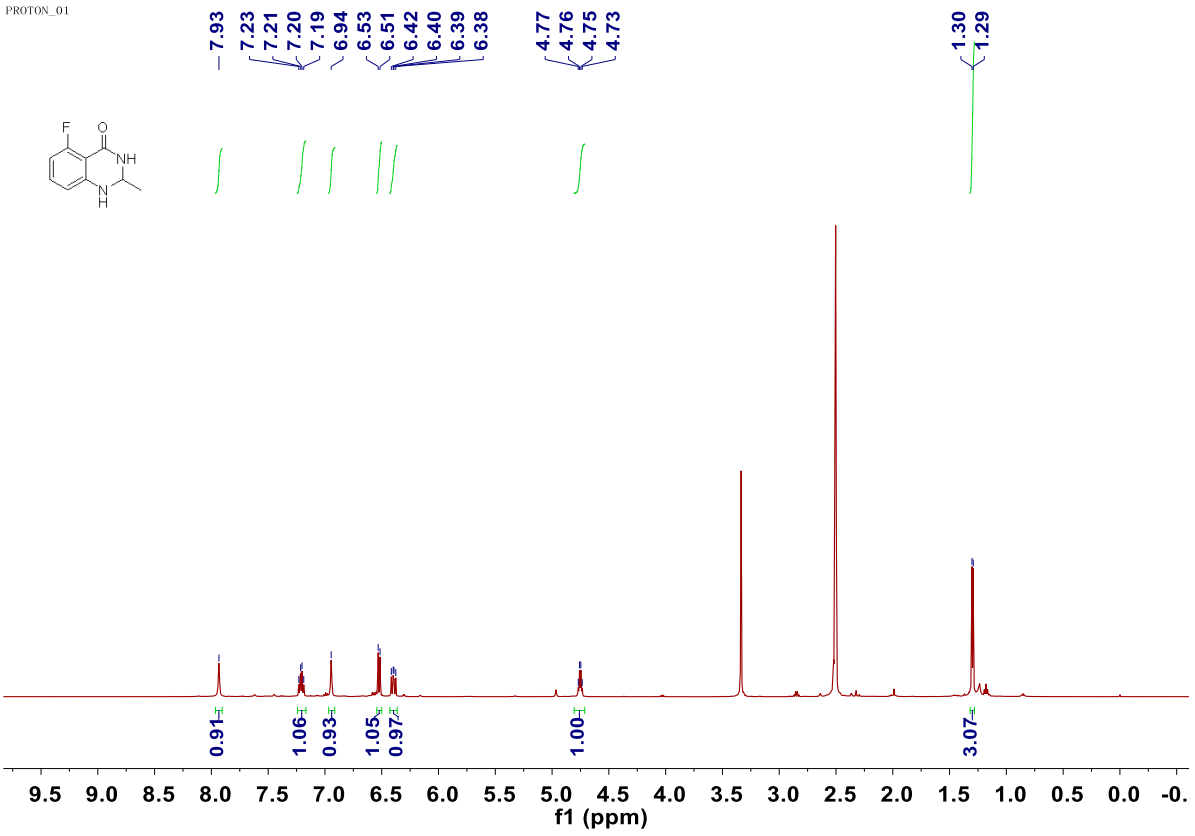
^{1}H NMR (500 MHz, DMSO-d_6) of 6-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3f)



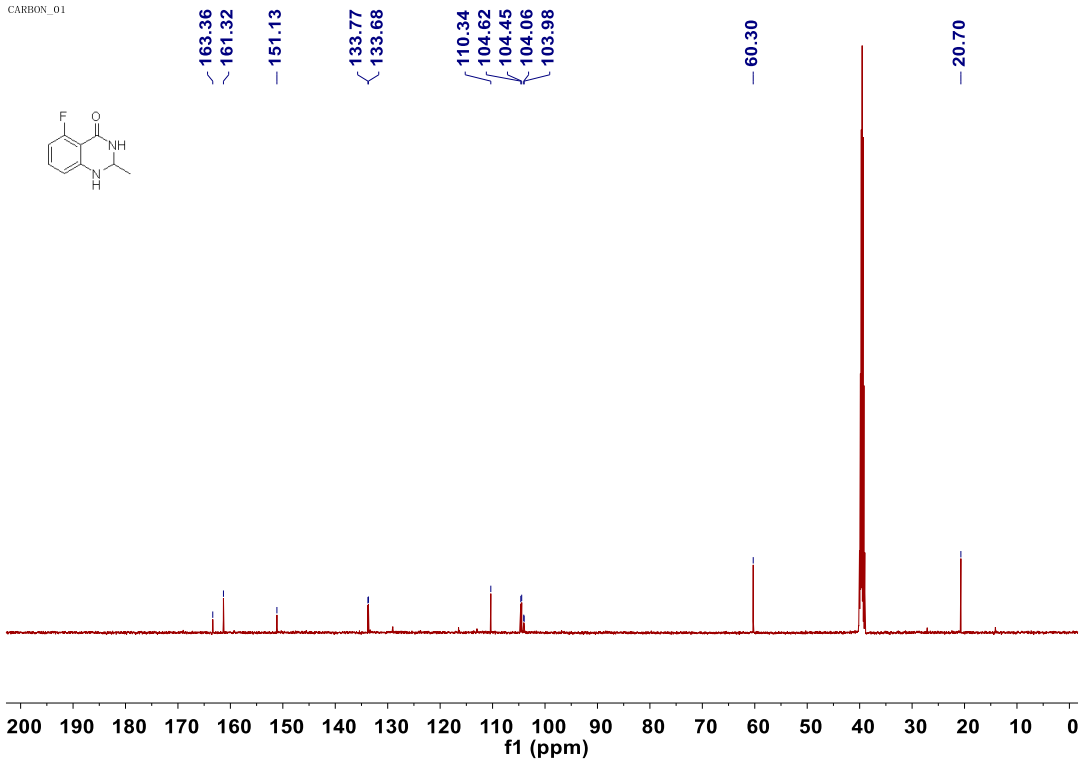
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 6-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3f)



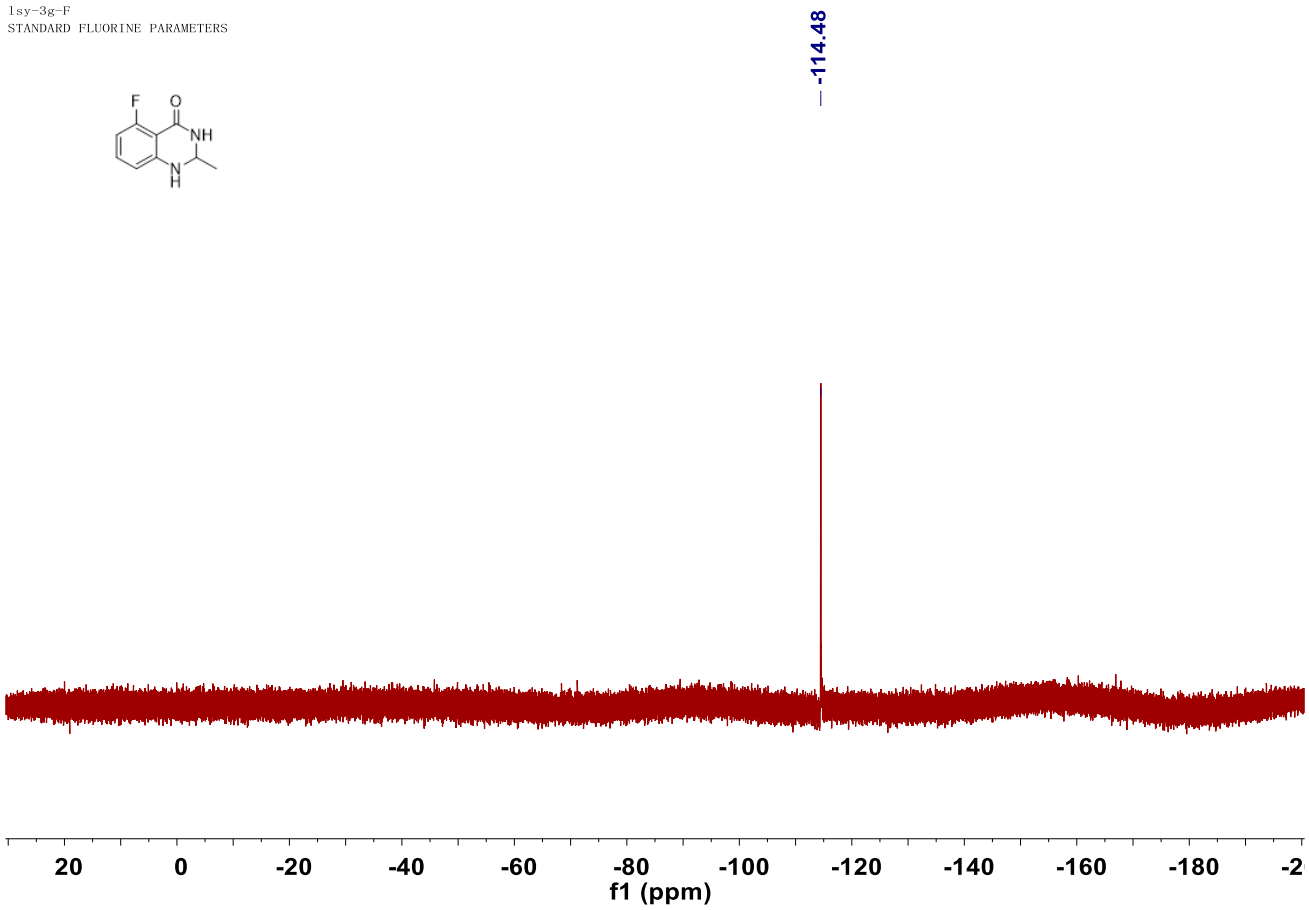
^{1}H NMR (500 MHz, DMSO-d_6) of 5-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3g)



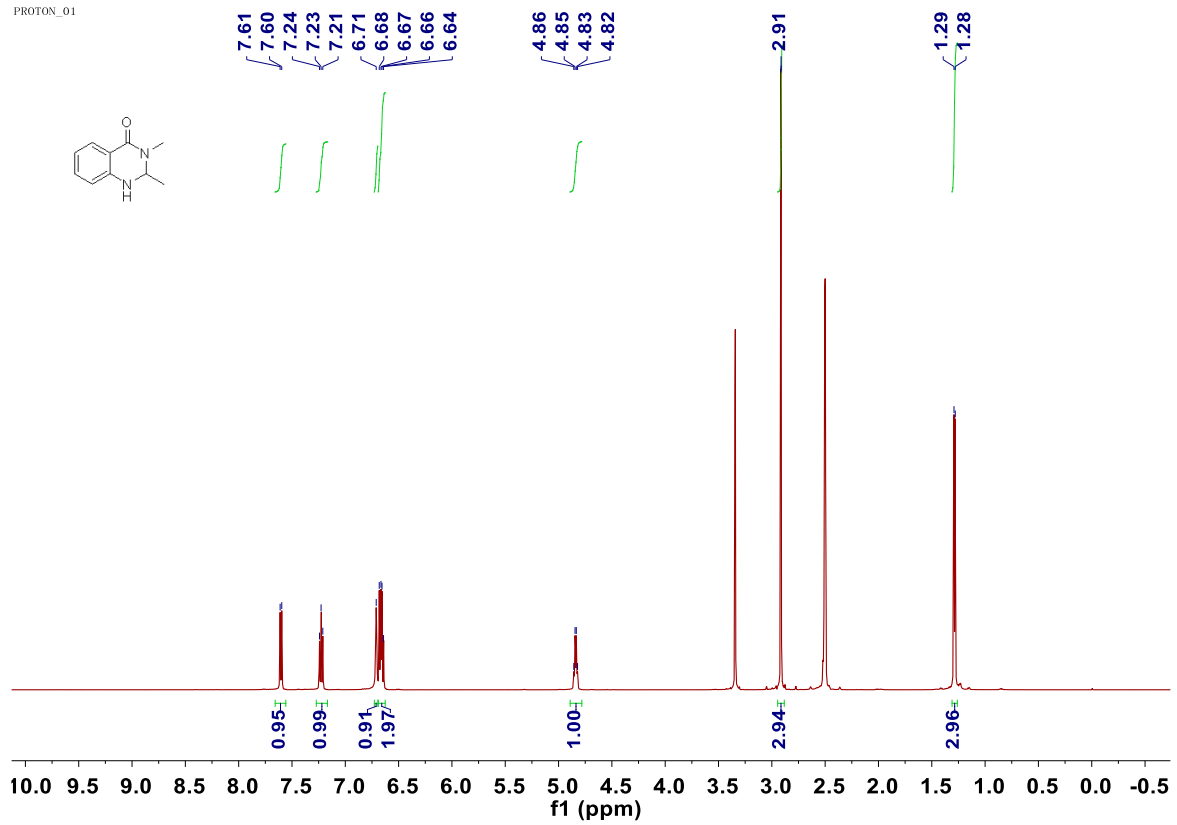
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 5-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3g)



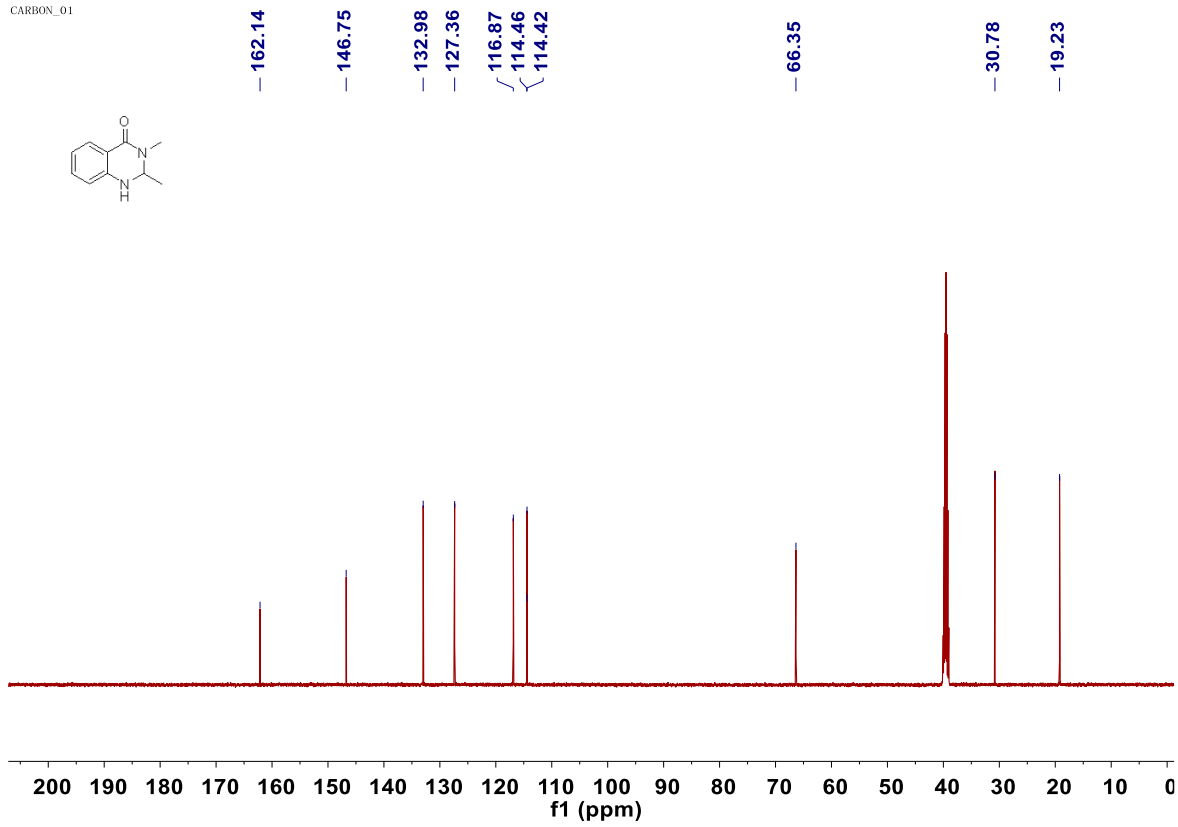
^{19}F NMR (470 MHz, DMSO-d_6) of 5-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3g)



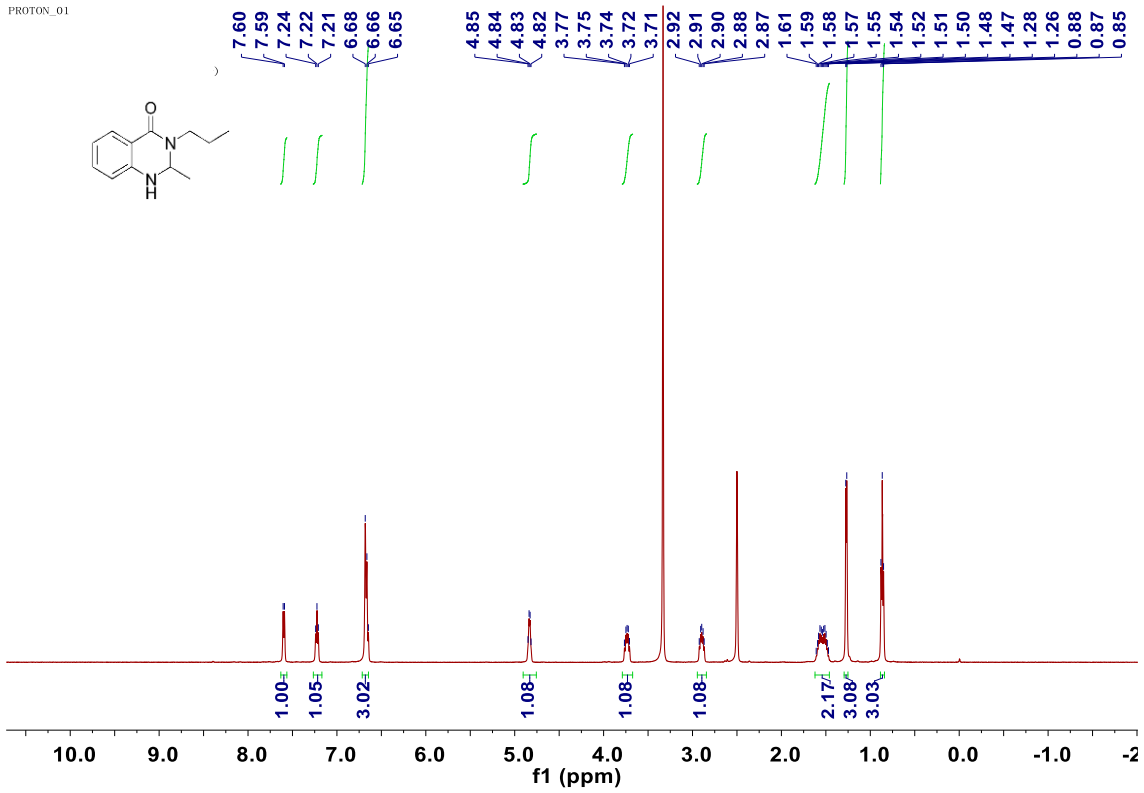
^{1}H NMR (500 MHz, DMSO-d_6) of 2,3-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3h)



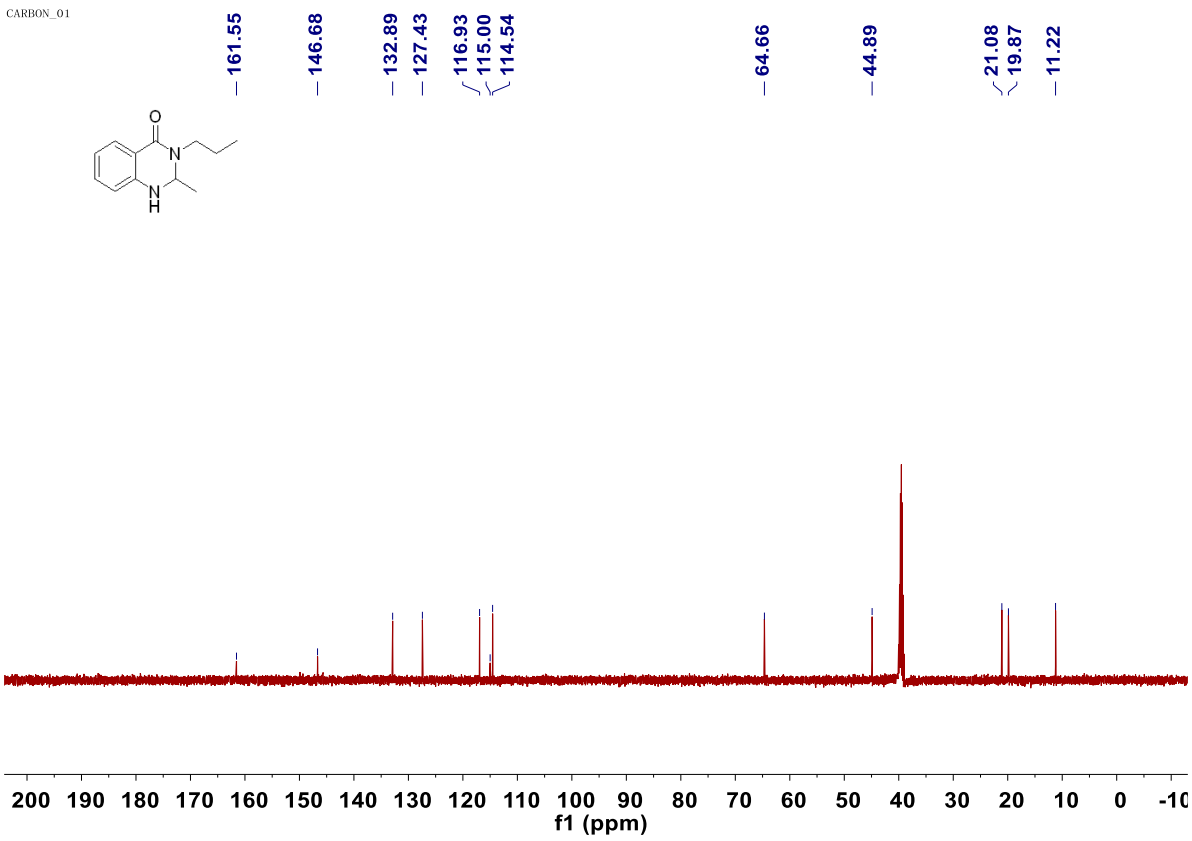
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2,3-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3h)



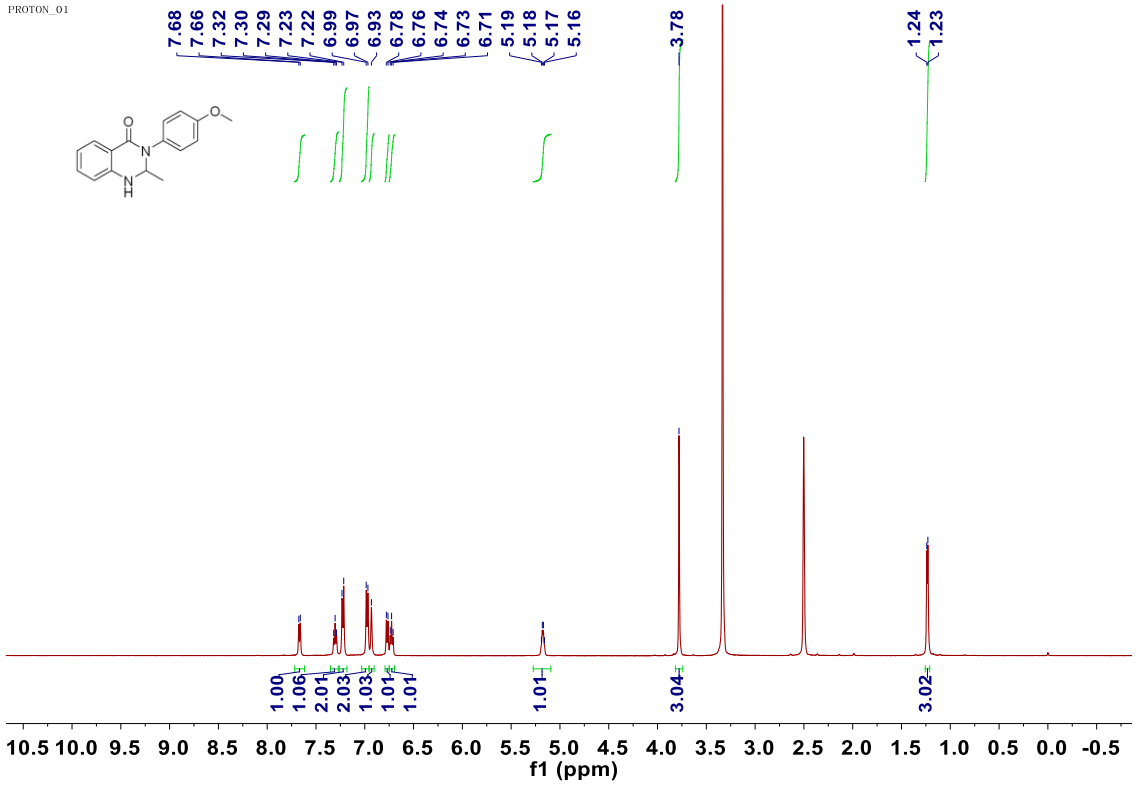
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methyl-3-propyl-2,3-dihydroquinazolin-4(1H)-one (3i)



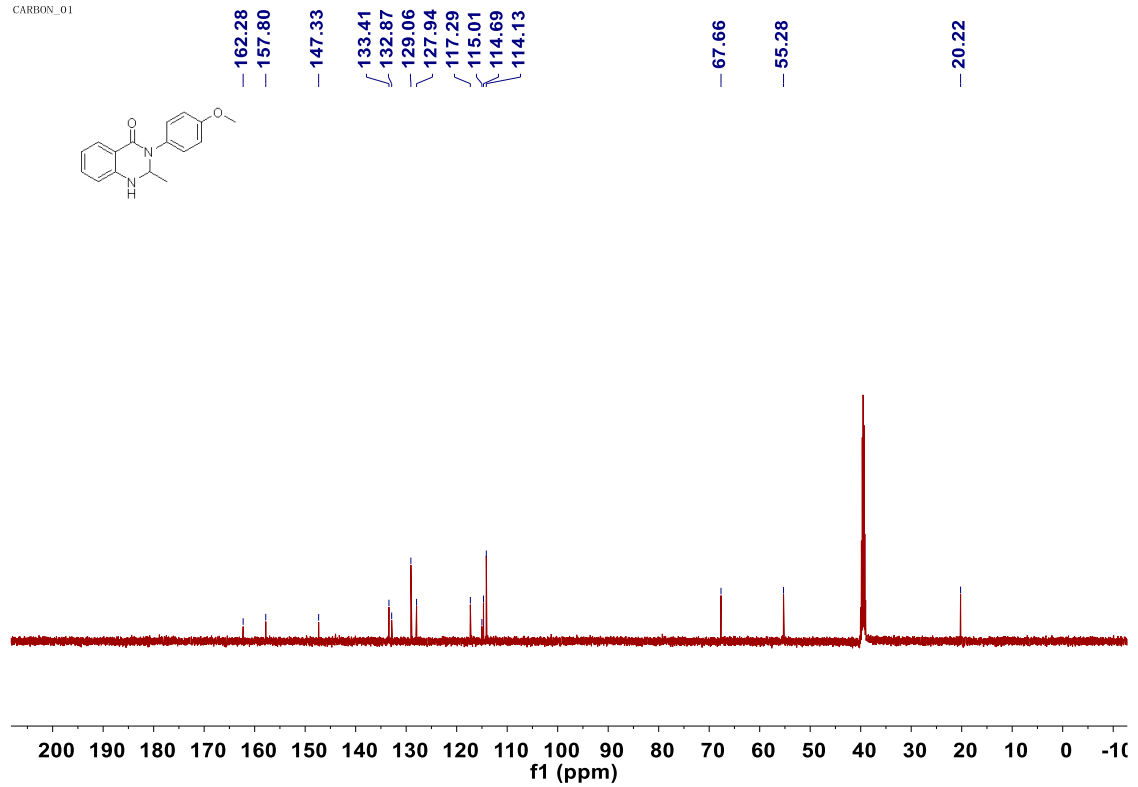
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methyl-3-propyl-2,3-dihydroquinazolin-4(1H)-one (3i)



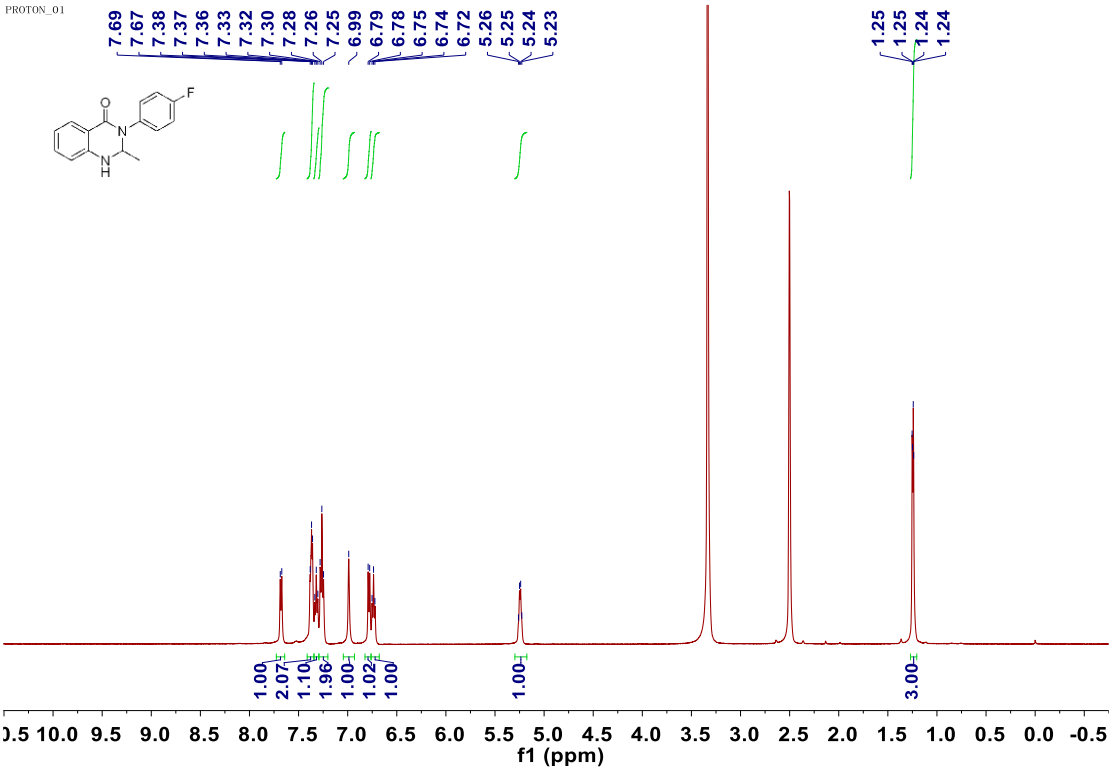
^{1}H NMR (500 MHz, DMSO-d_6) of 3-(4-methoxyphenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3j)



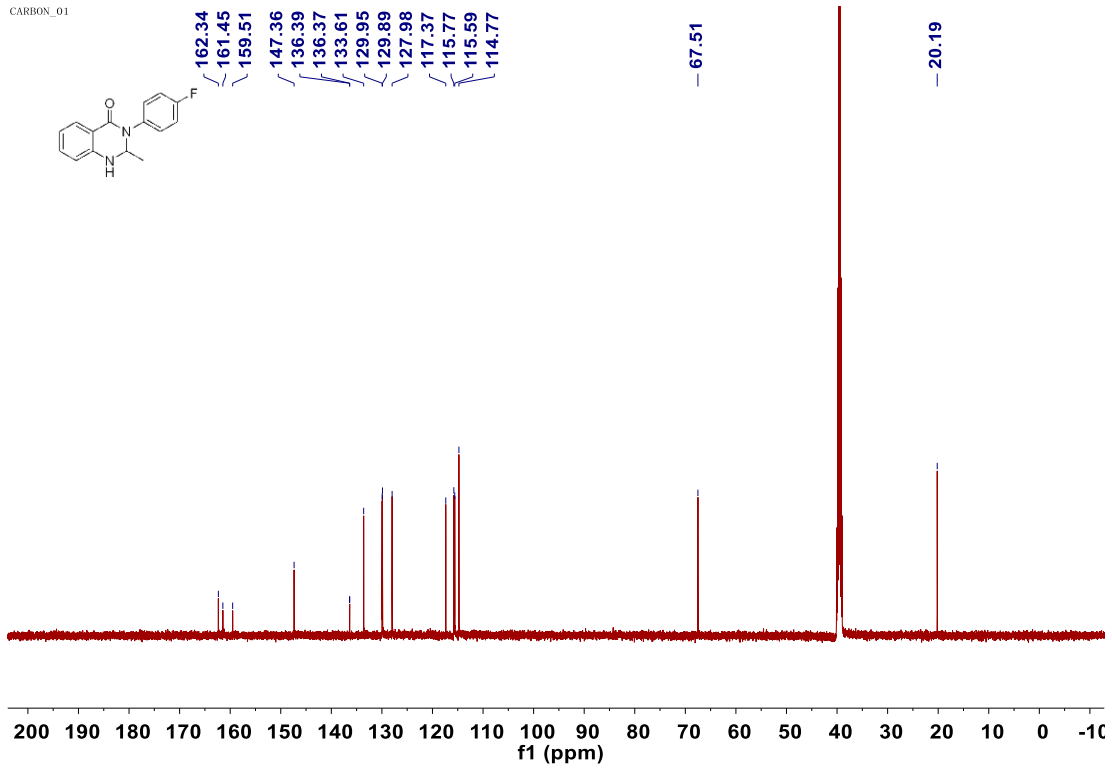
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 3-(4-methoxyphenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3j)



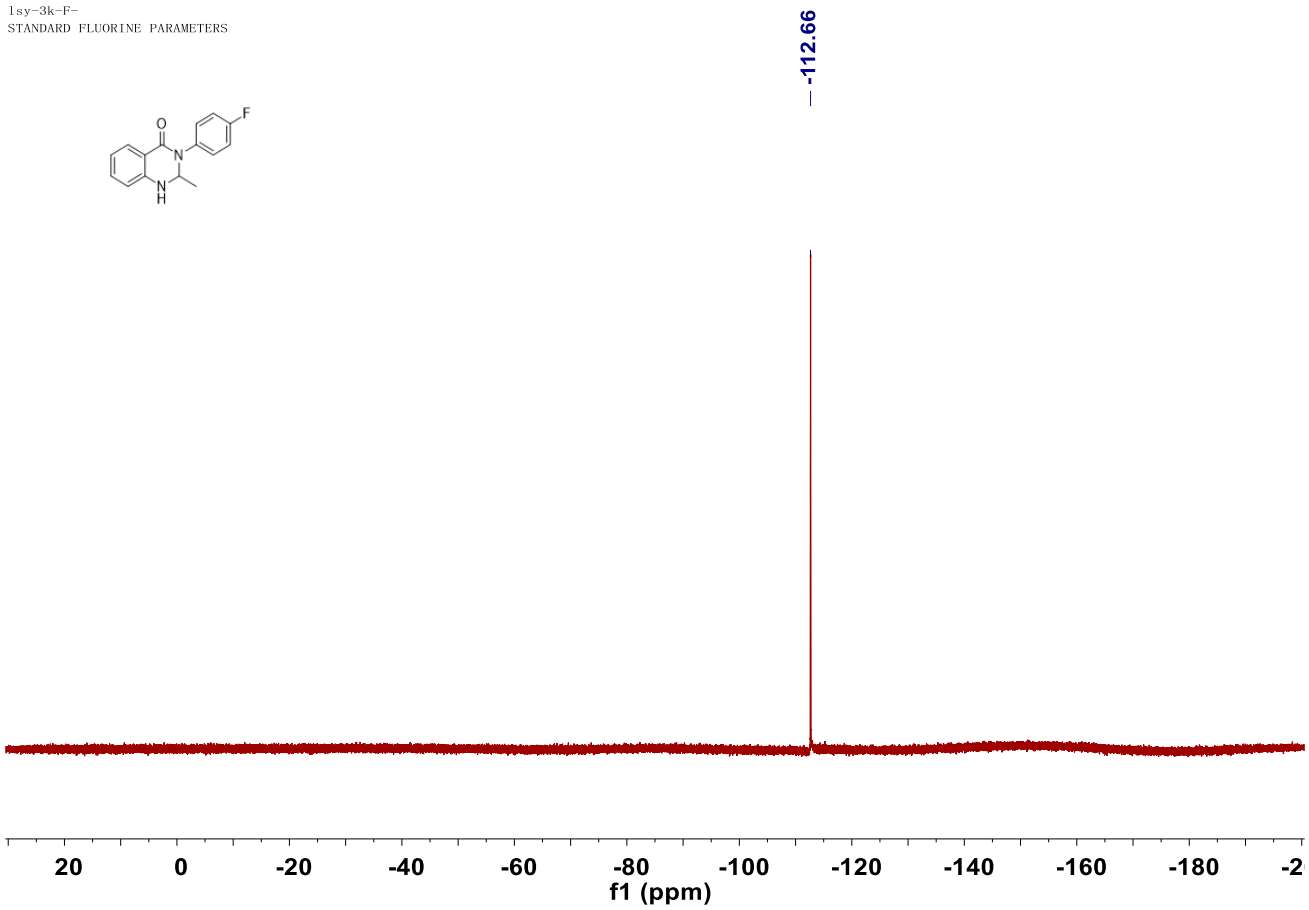
^{1}H NMR (500 MHz, DMSO-d_6) of 3-(4-fluorophenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3k)



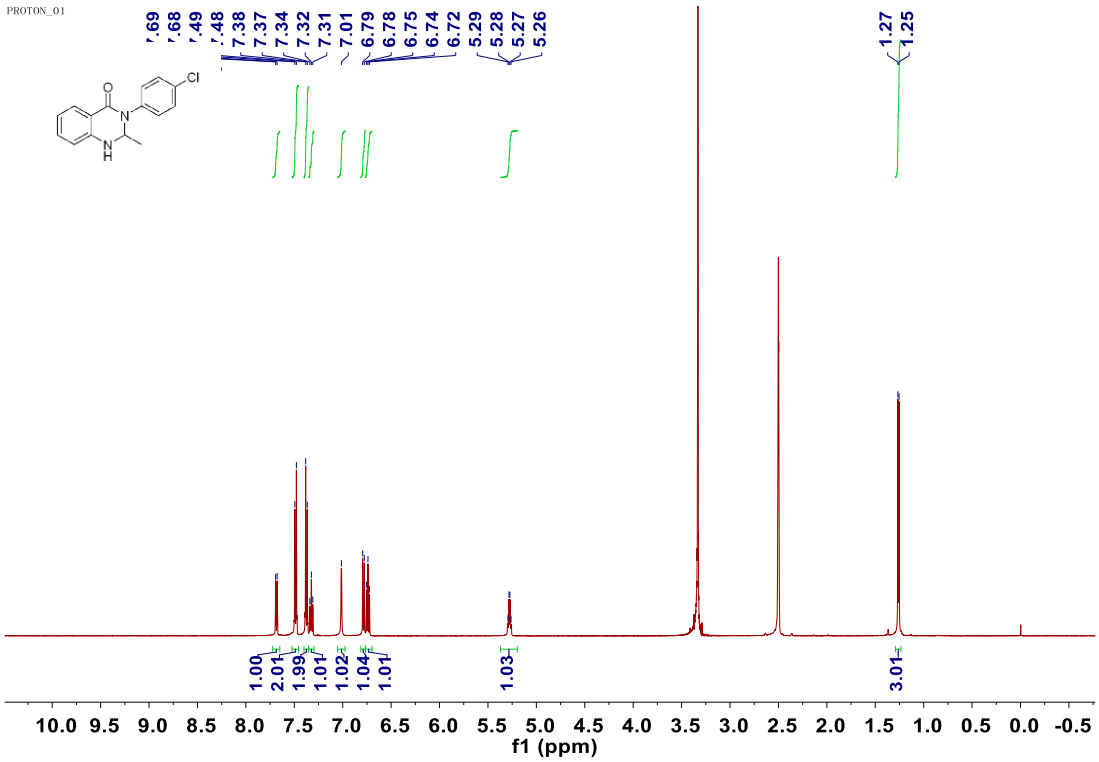
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 3-(4-fluorophenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3k)



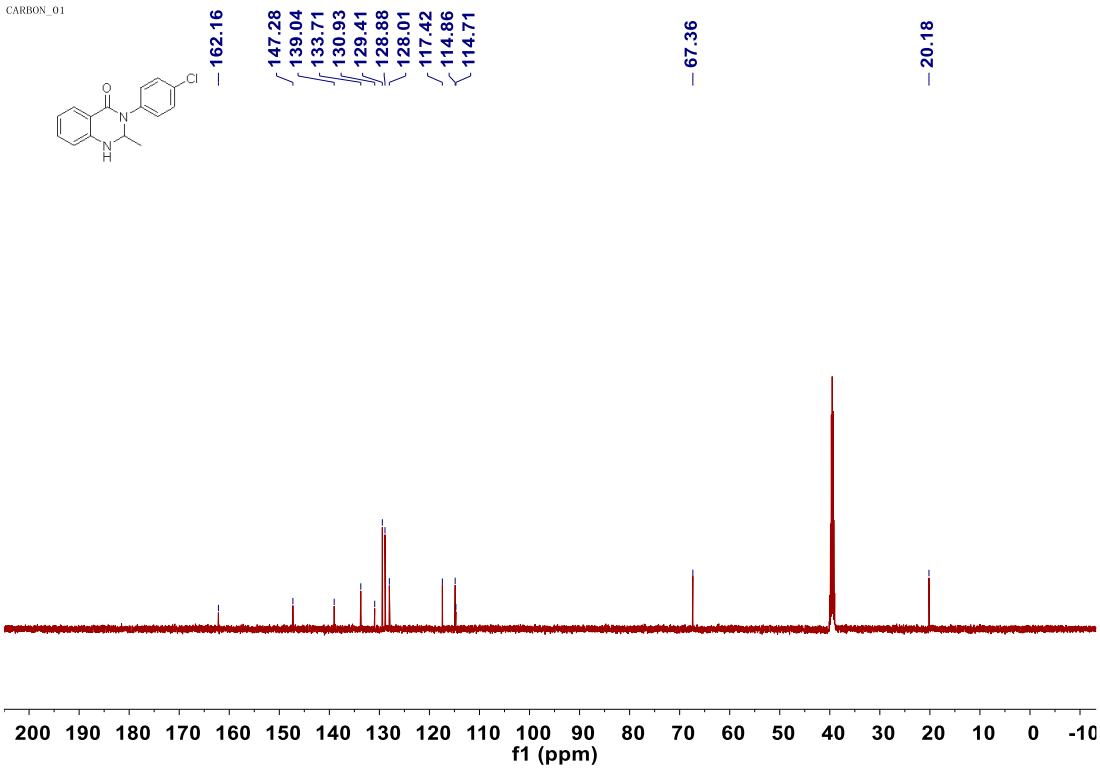
^{19}F NMR (470 MHz, DMSO-d_6) of 3-(4-fluorophenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3k)



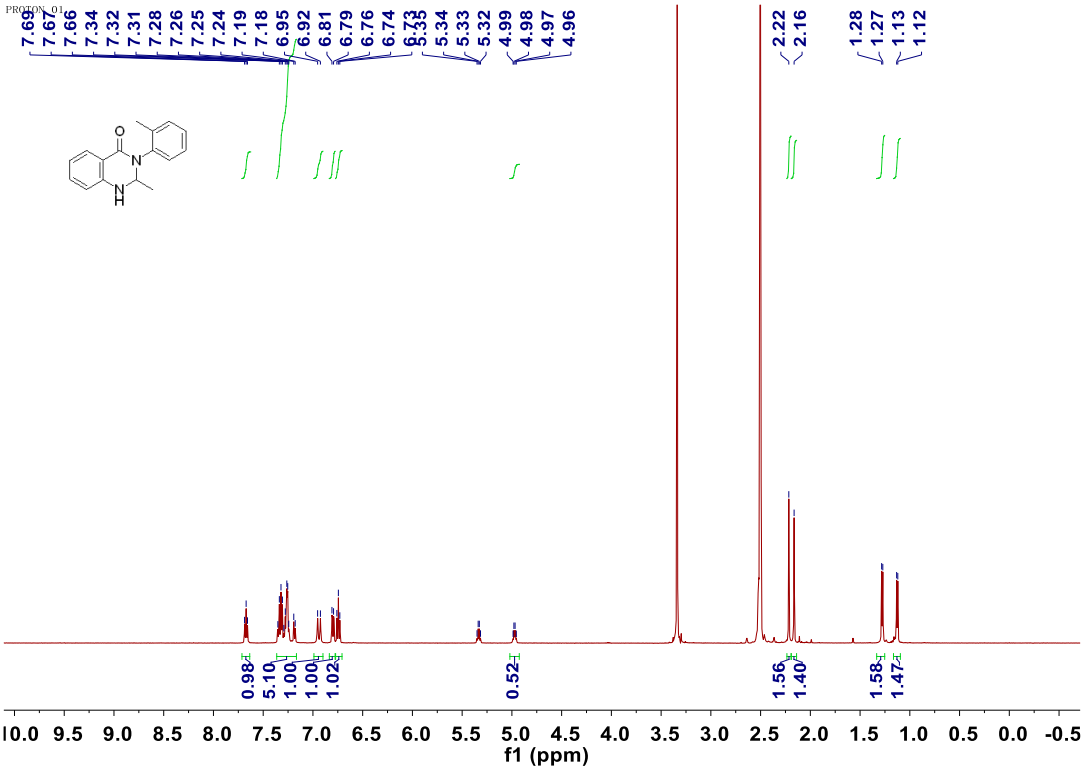
^{1}H NMR (500 MHz, DMSO-d_6) of 3-(4-chlorophenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3l)



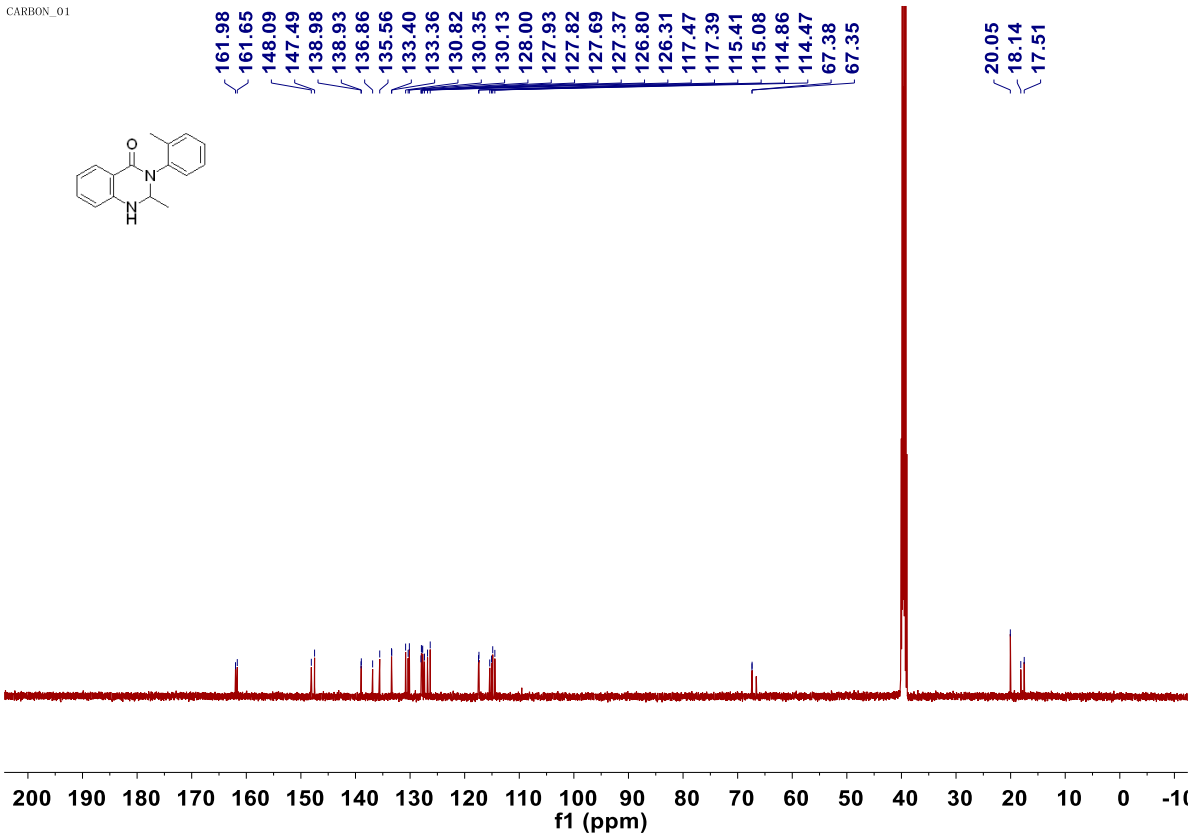
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 3-(4-chlorophenyl)-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3l)



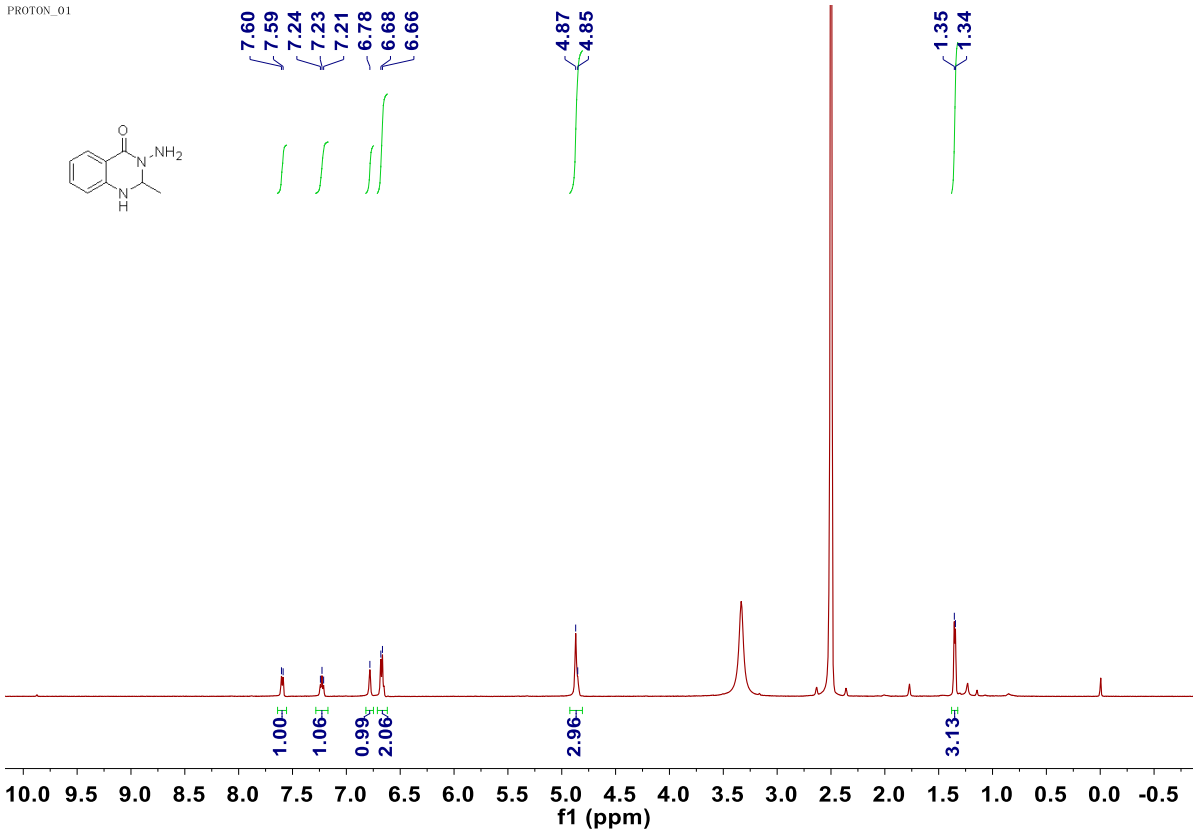
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methyl-3-(o-tolyl)-2,3-dihydroquinazolin-4(1H)-one (3m)



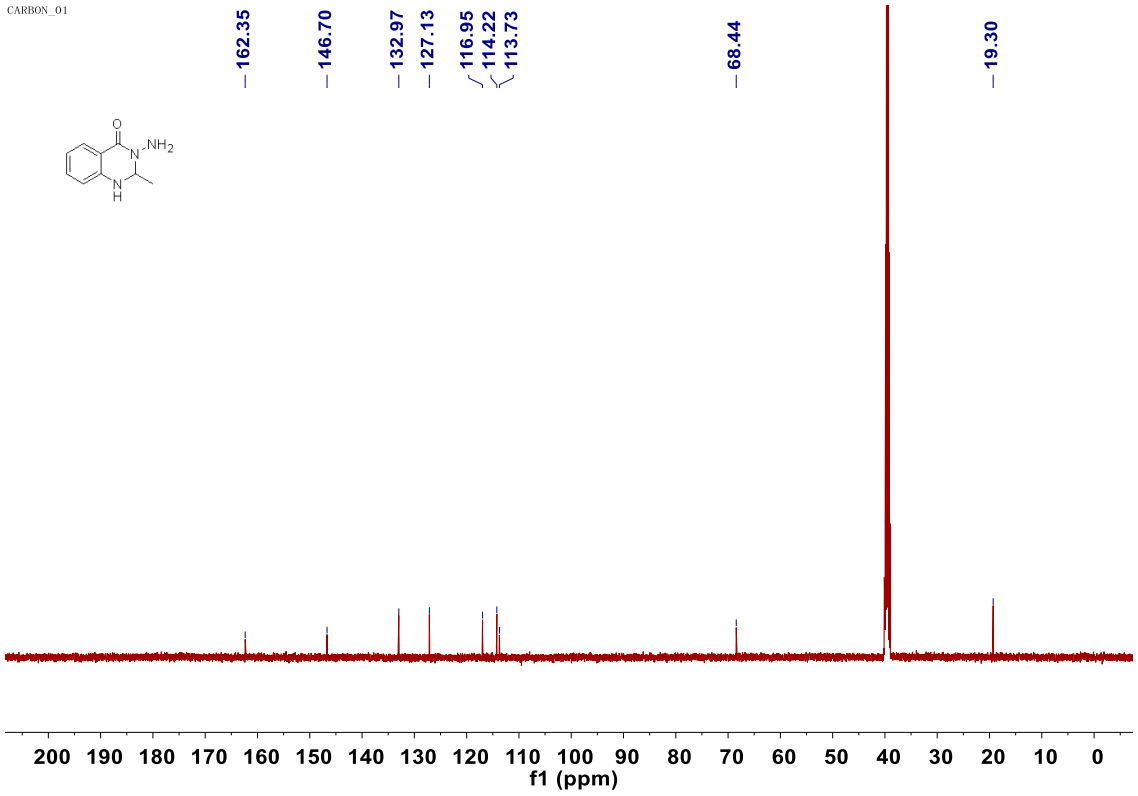
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methyl-3-(o-tolyl)-2,3-dihydroquinazolin-4(1H)-one (3m)



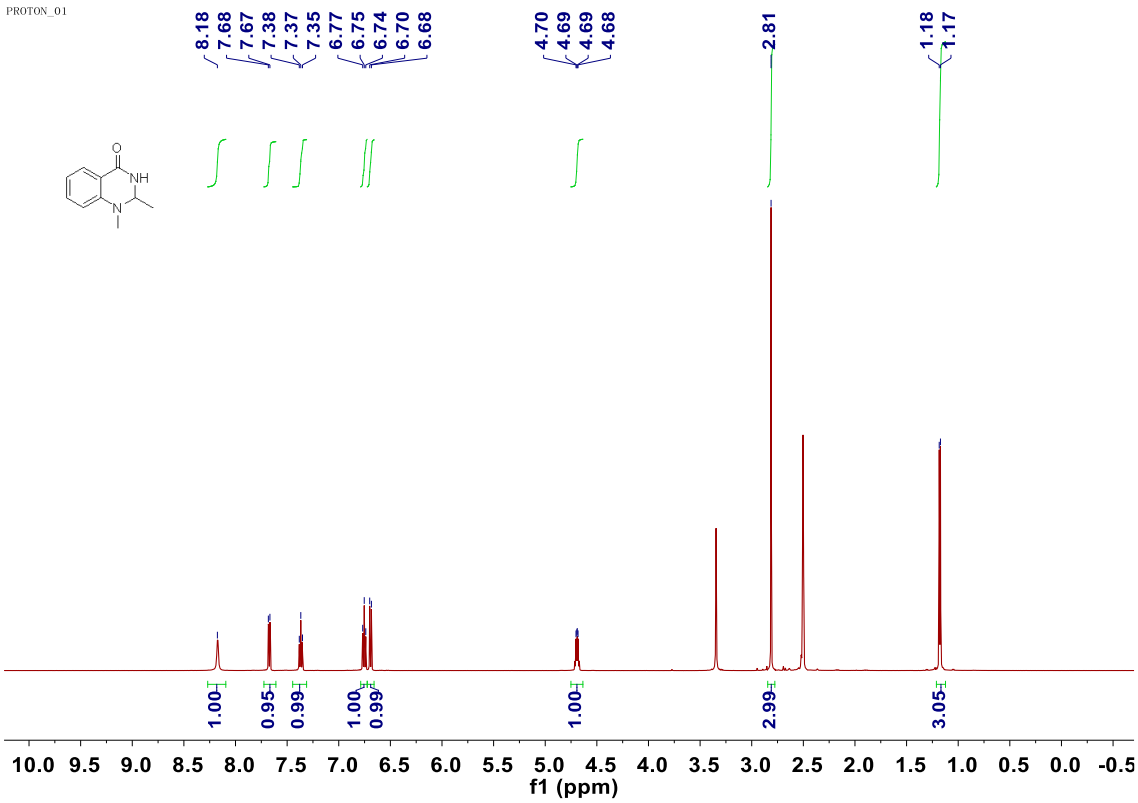
^{1}H NMR (500 MHz, DMSO-d_6) of 3-amino-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3n)



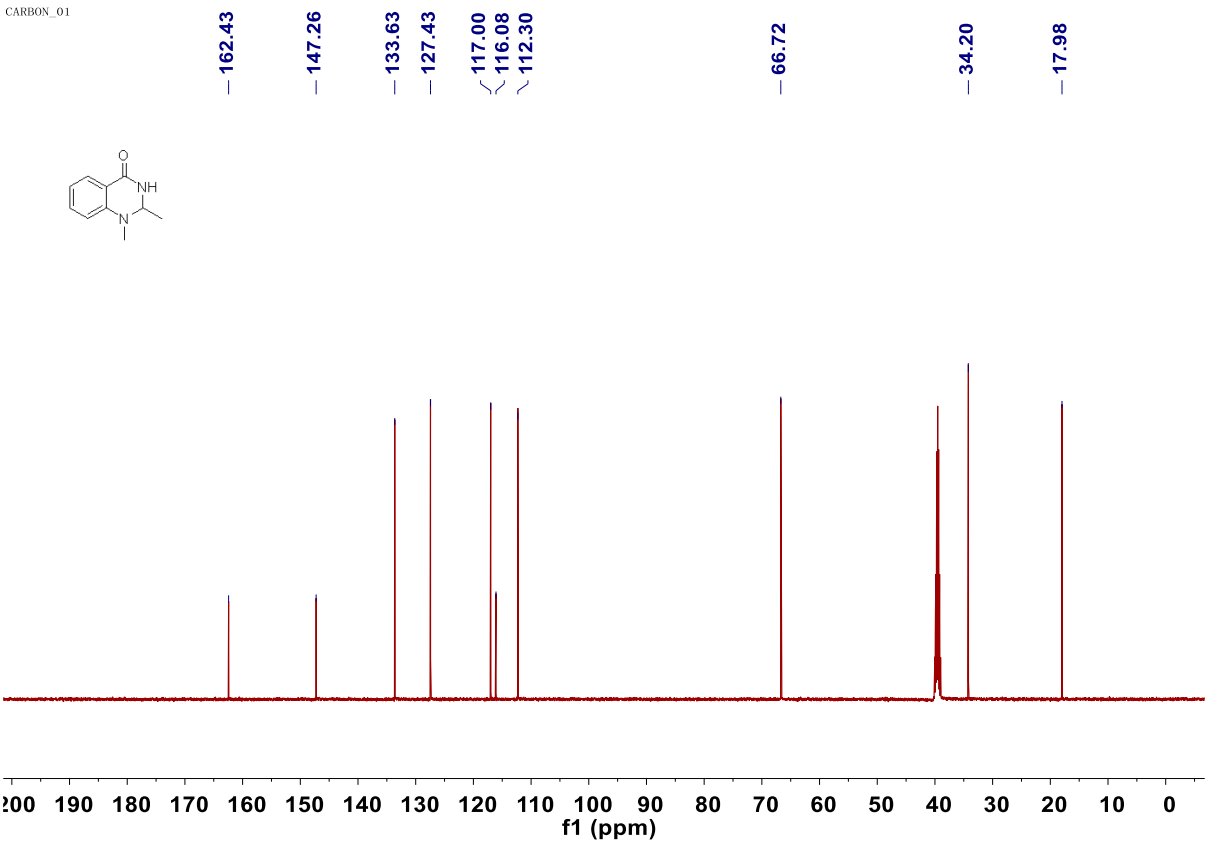
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 3-amino-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3n)



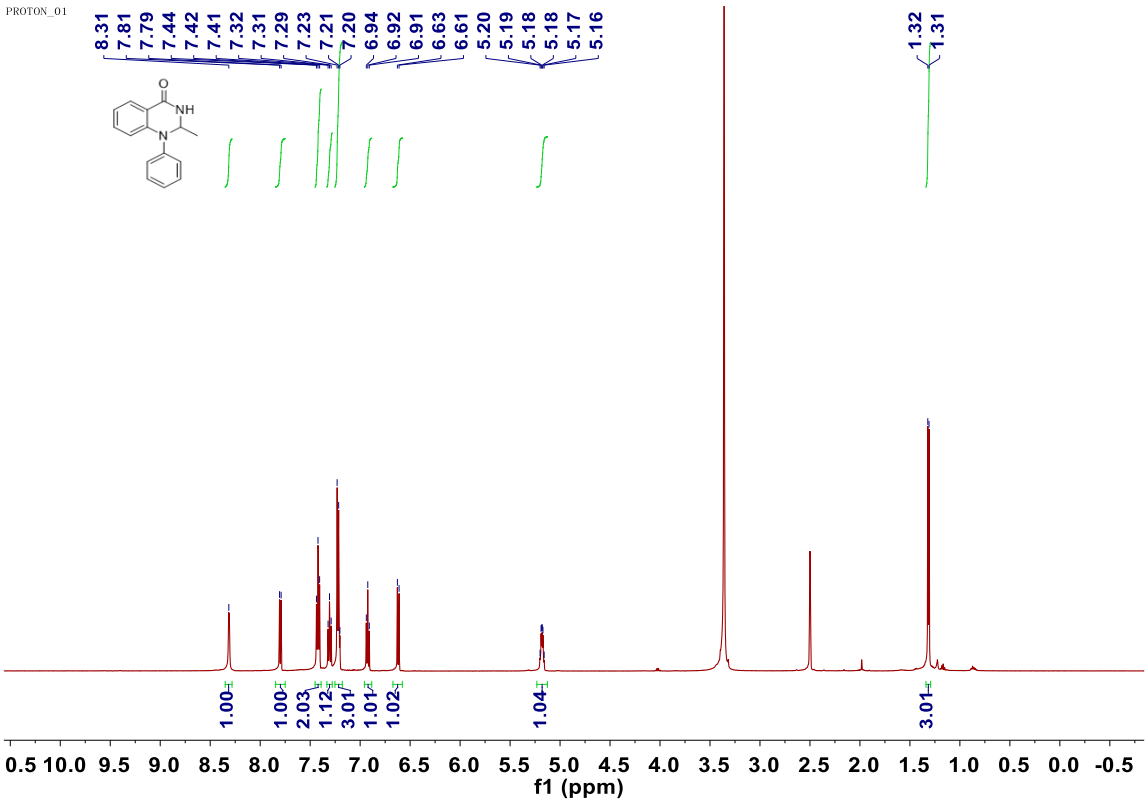
^{1}H NMR (500 MHz, DMSO-d_6) of 1,2-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3o)



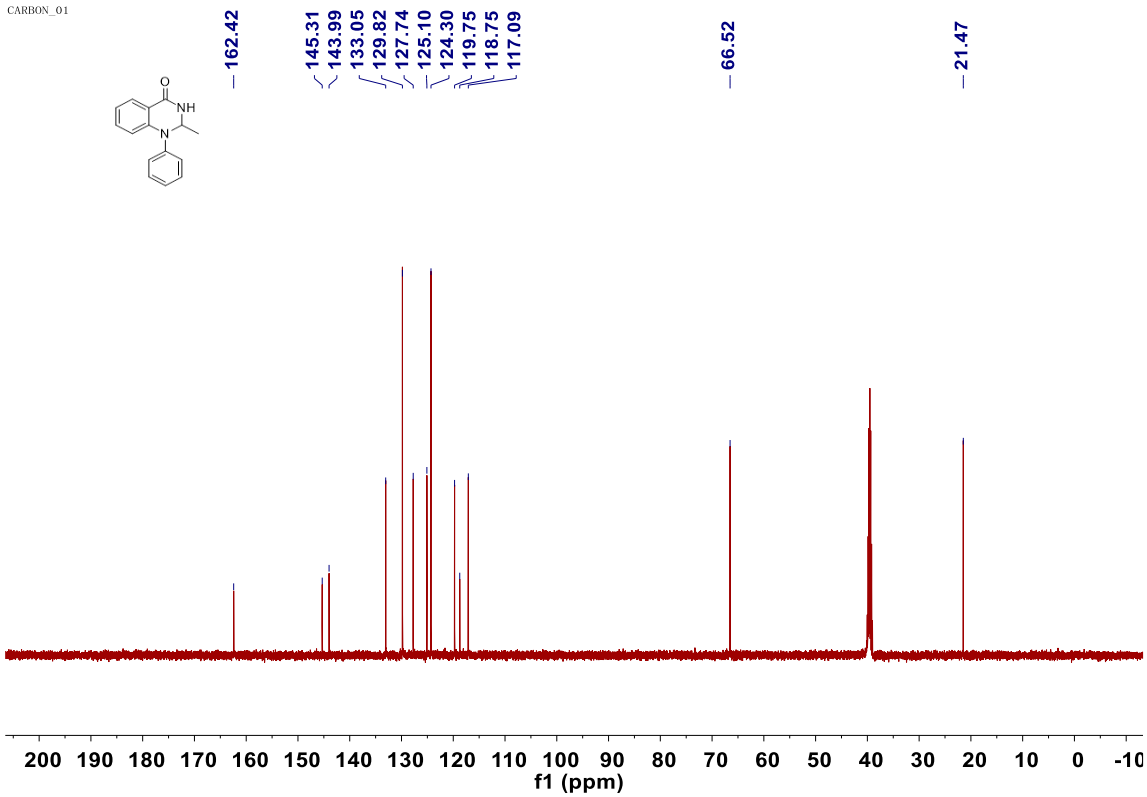
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 1,2-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3o)



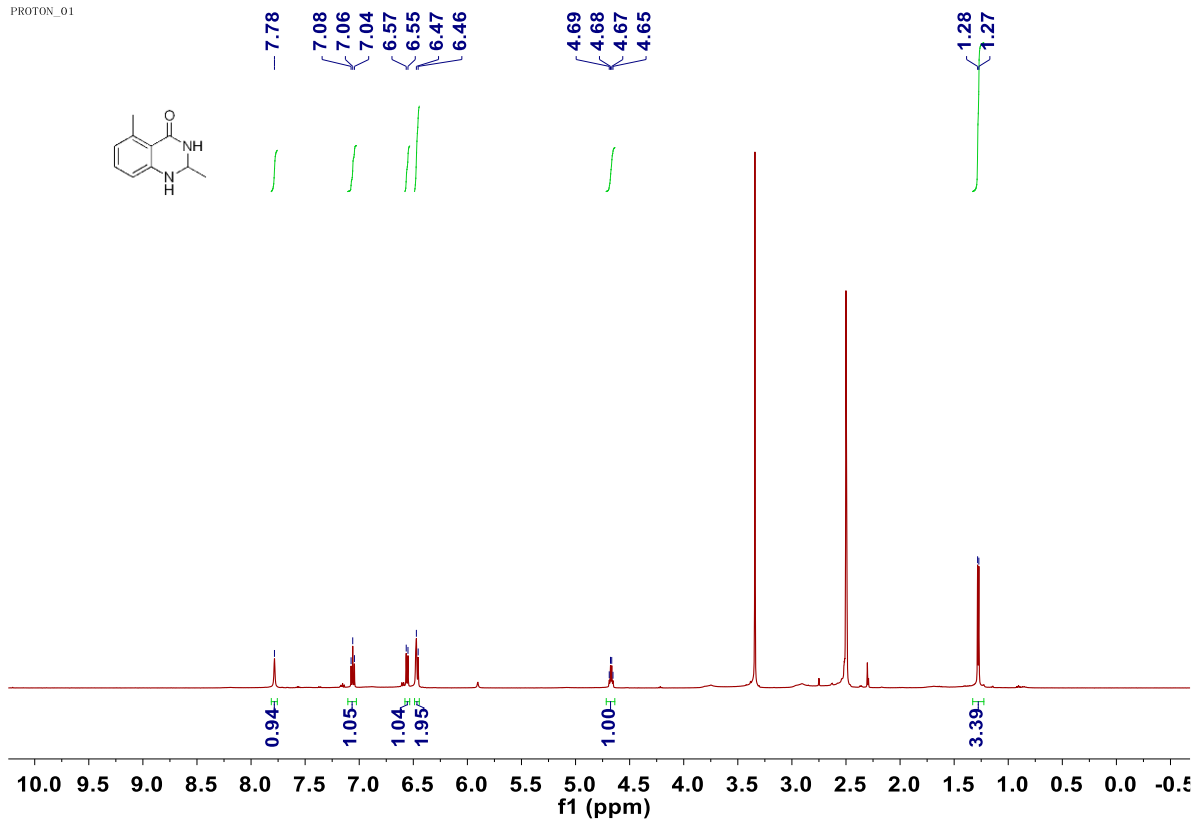
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methyl-1-phenyl-2,3-dihydroquinazolin-4(1H)-one (3p)



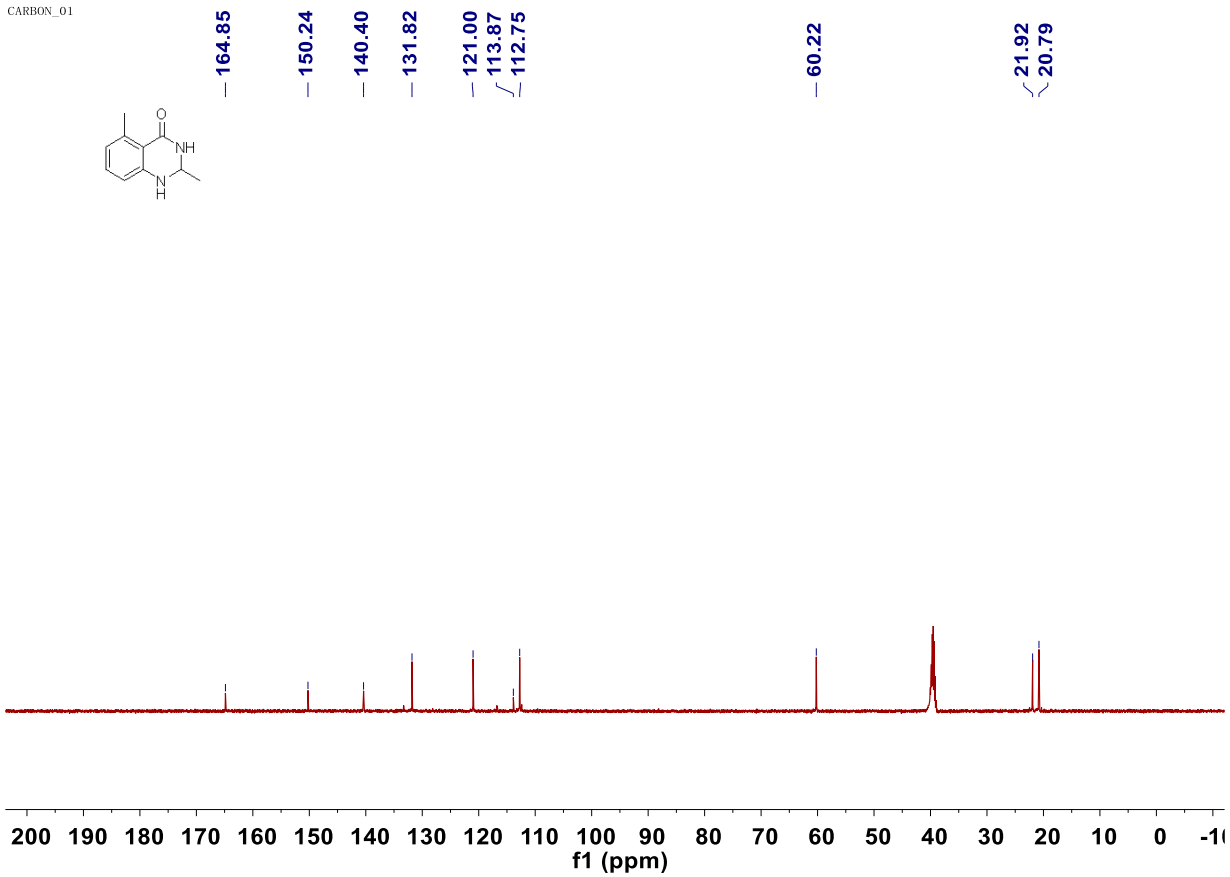
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methyl-1-phenyl-2,3-dihydroquinazolin-4(1H)-one (3p)



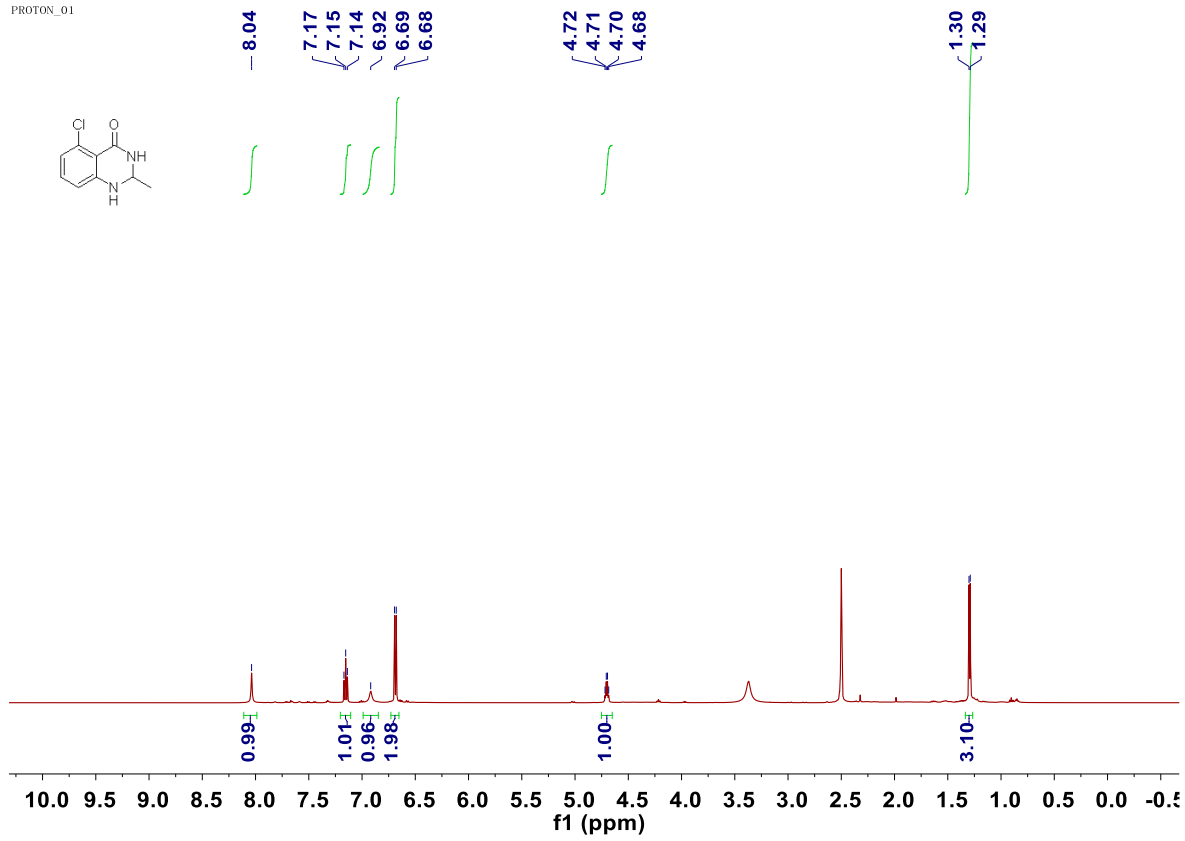
^{1}H NMR (500 MHz, DMSO-d_6) of 2,5-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3s)



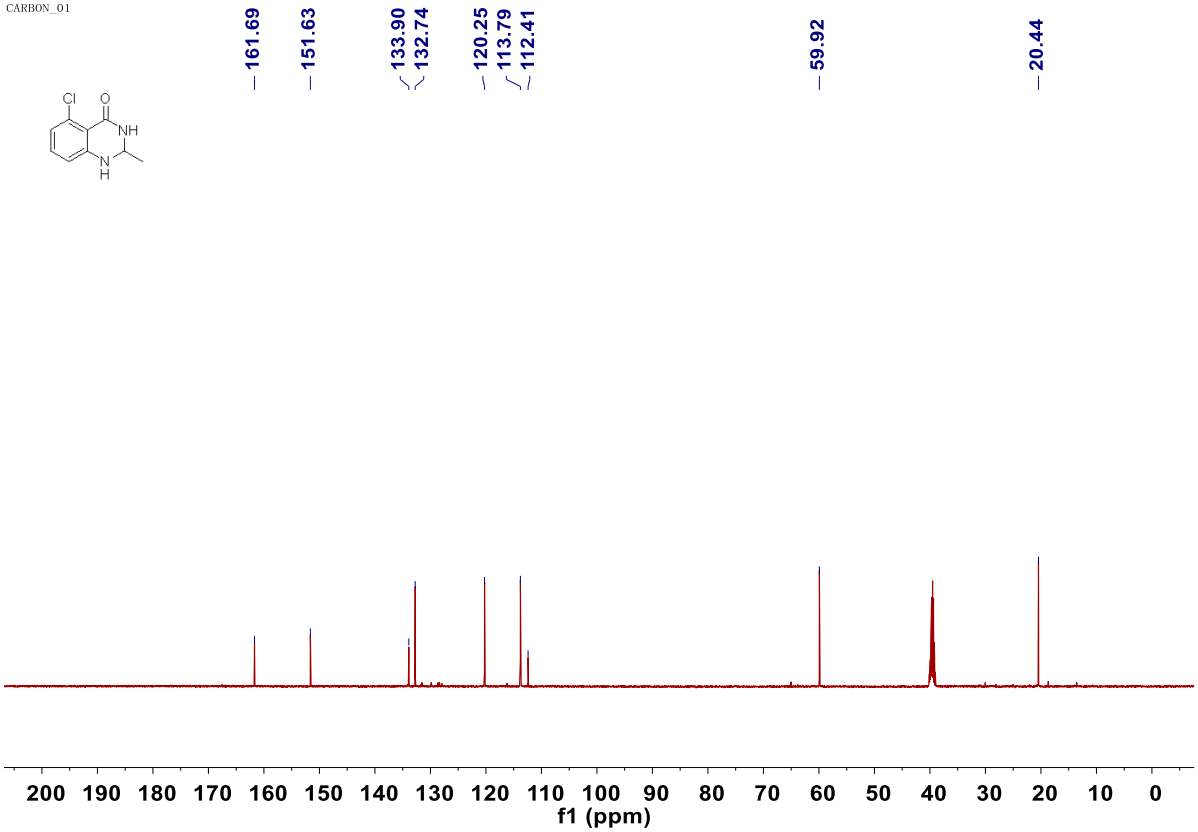
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2,5-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3s)



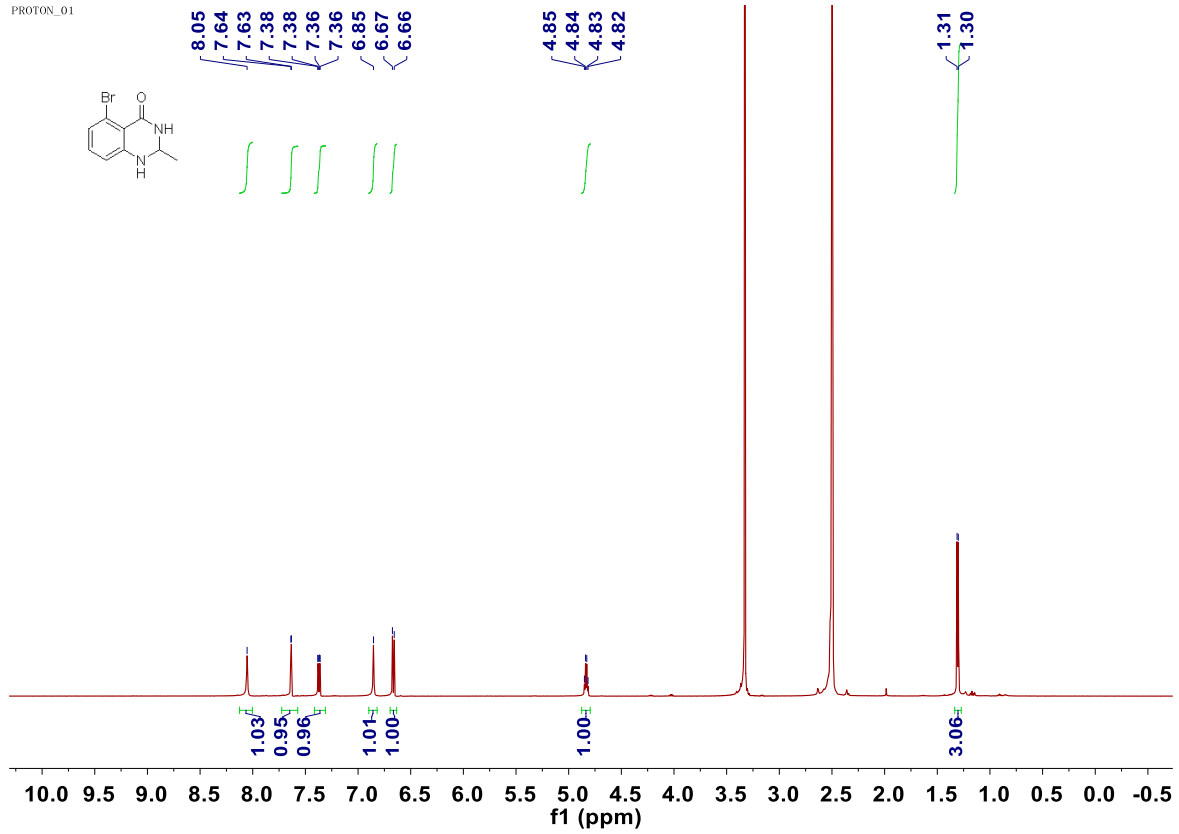
^{1}H NMR (500 MHz, DMSO-d_6) of 5-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3t)



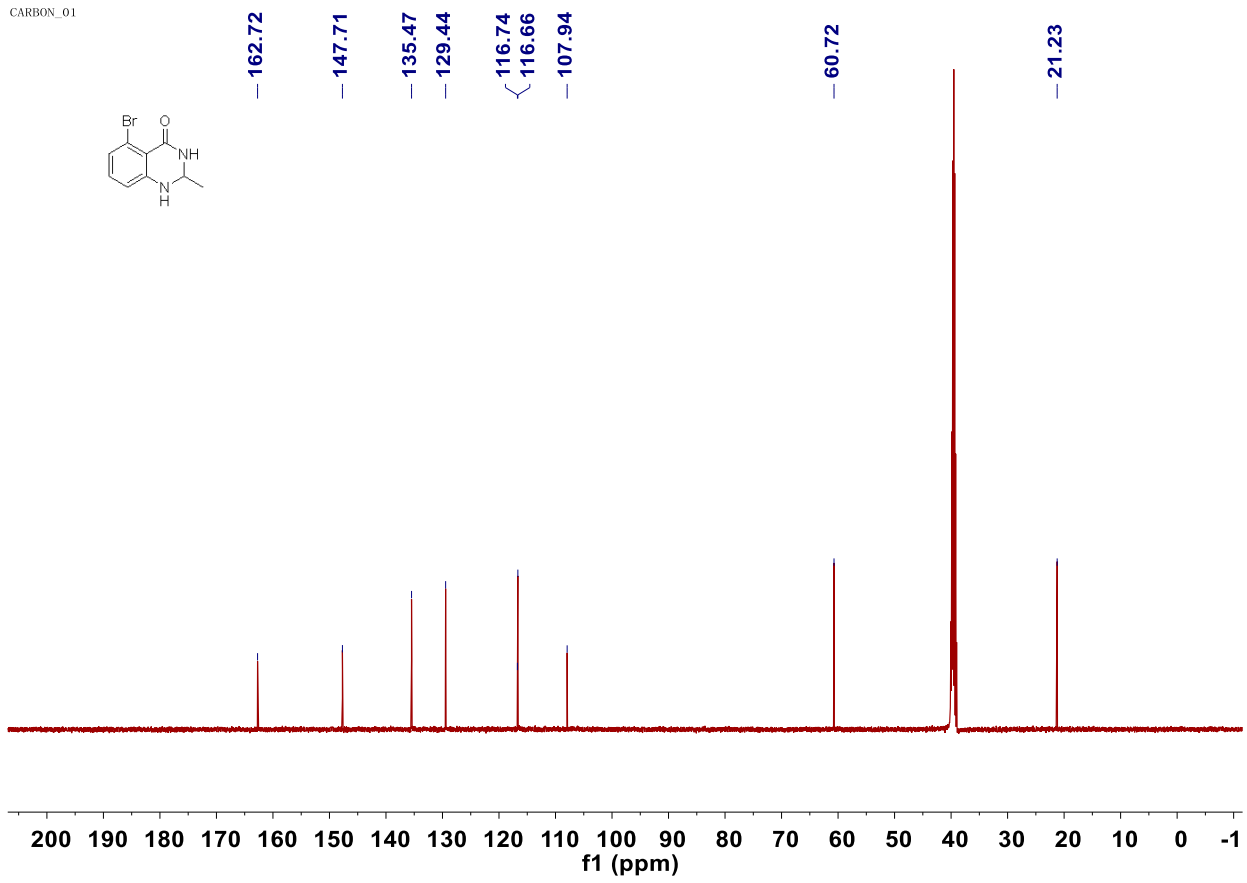
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 5-chloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3t)



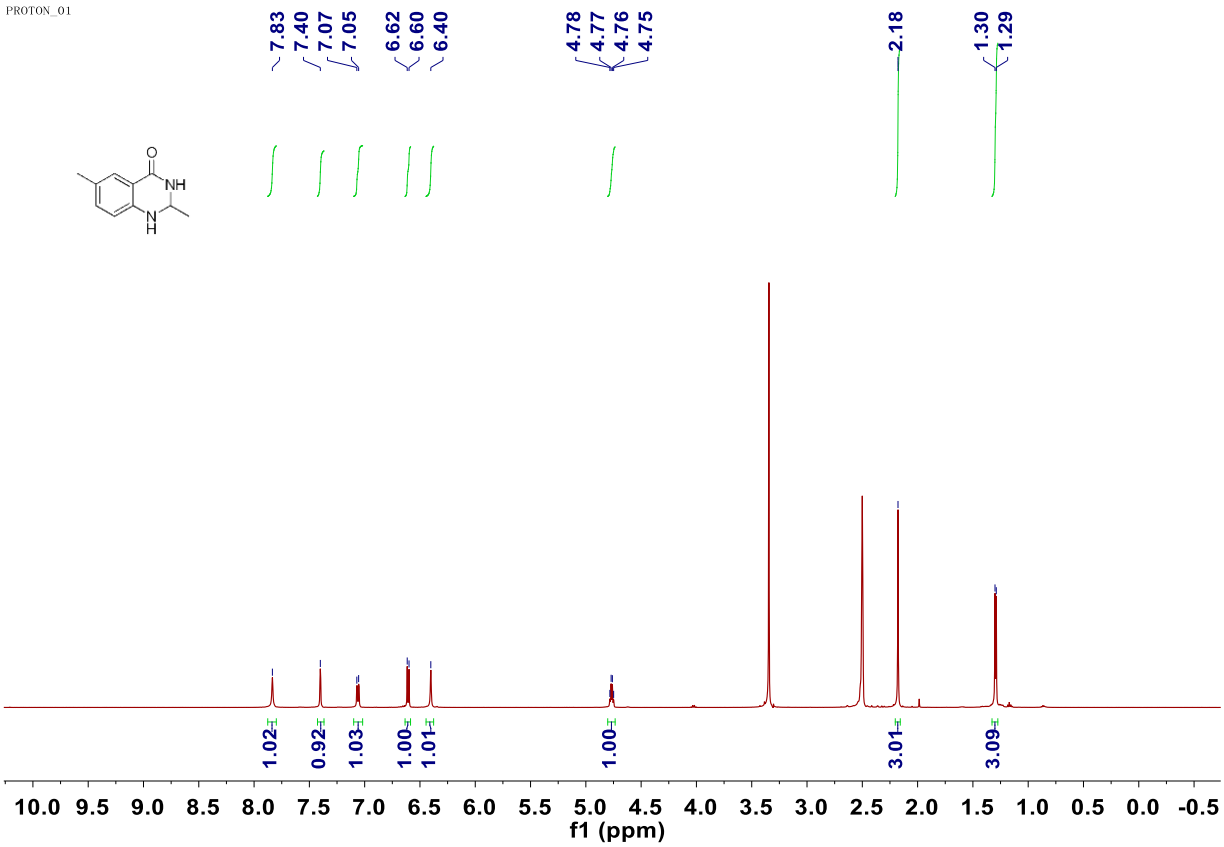
^{1}H NMR (500 MHz, DMSO-d_6) of 5-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3u)



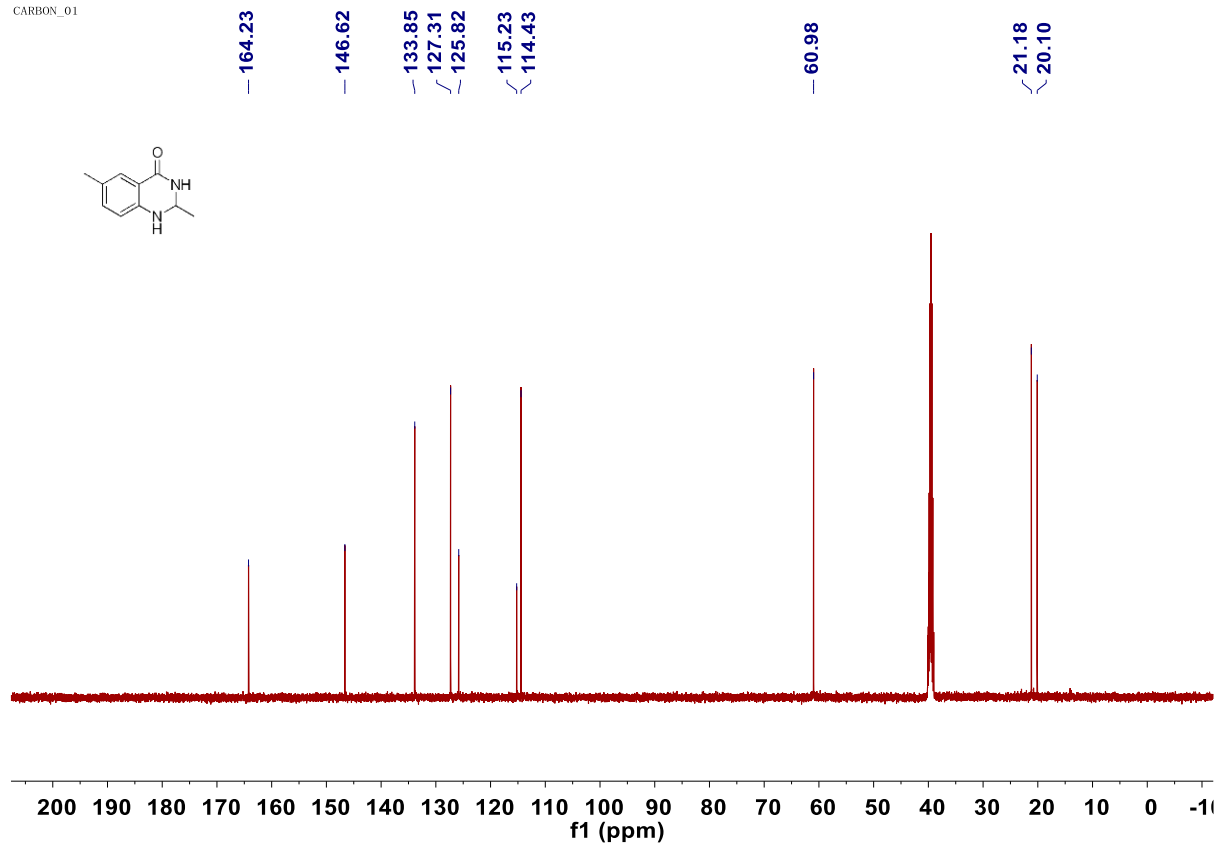
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 5-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3u)



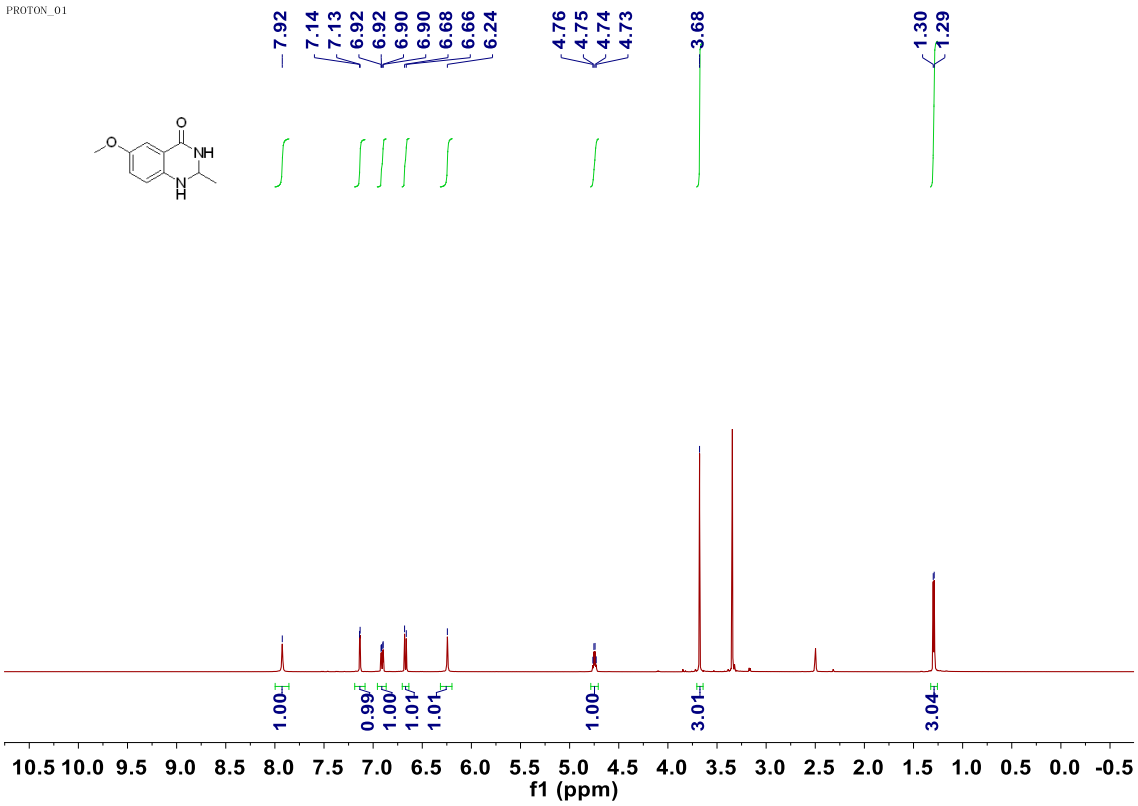
^{1}H NMR (500 MHz, DMSO-d_6) of 2,6-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3v)



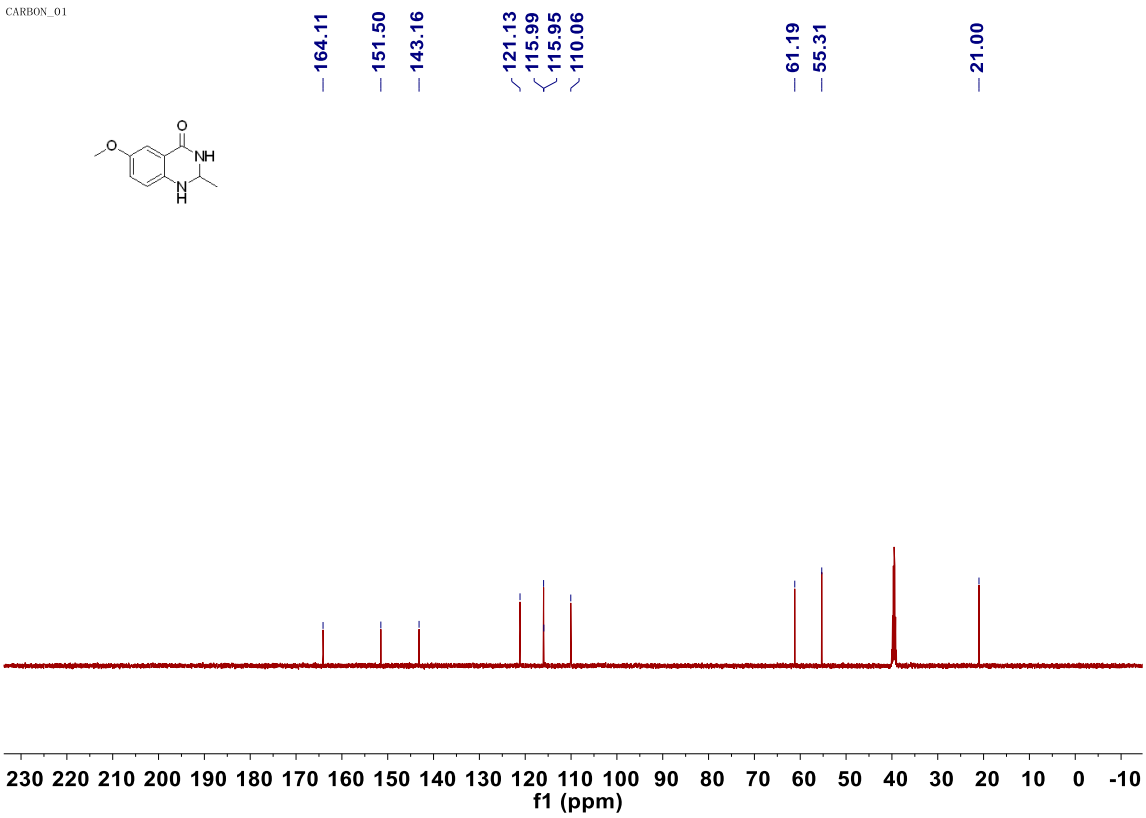
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2,6-dimethyl-2,3-dihydroquinazolin-4(1H)-one (3v)



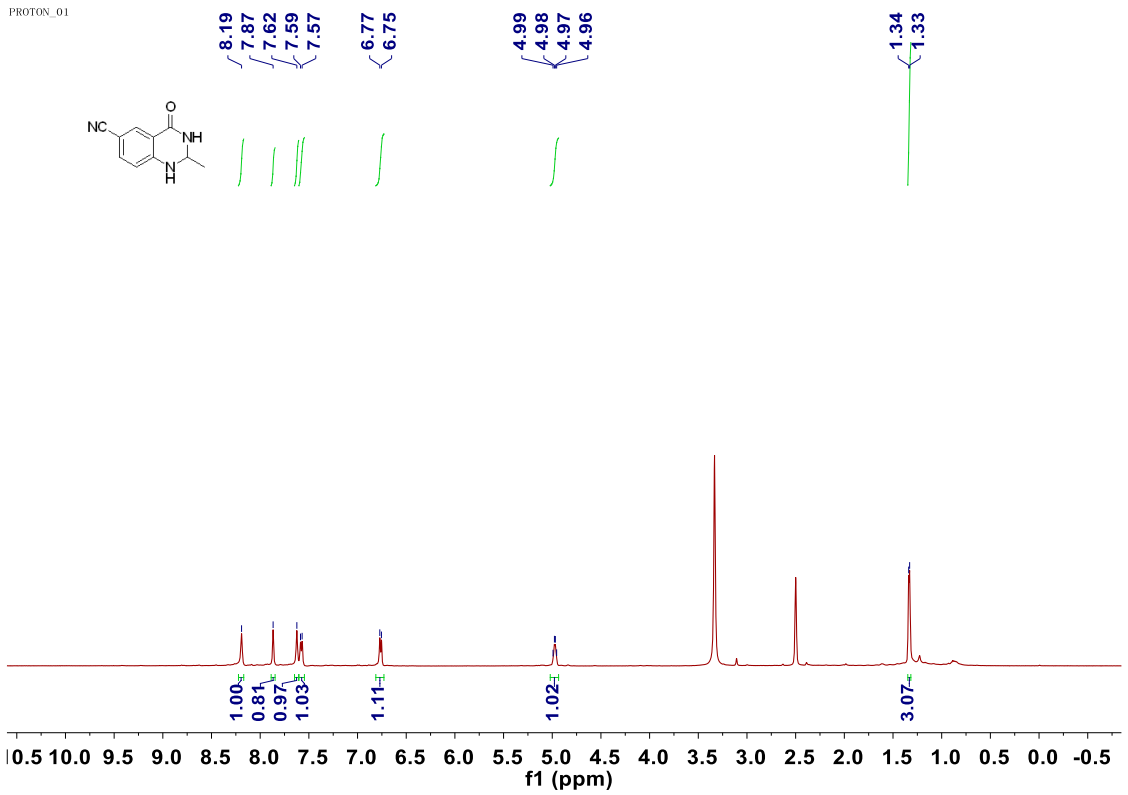
^{1}H NMR (500 MHz, DMSO-d_6) of 6-methoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3w)



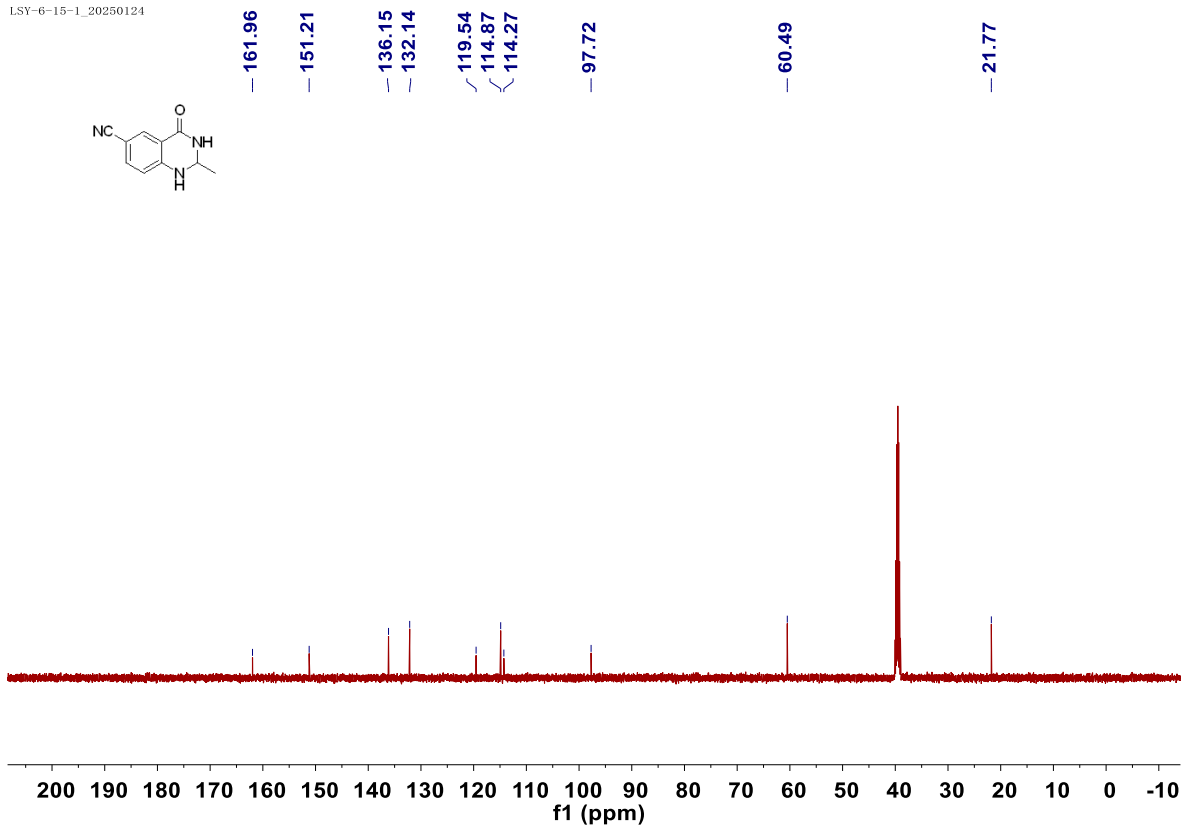
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 6-methoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3w)



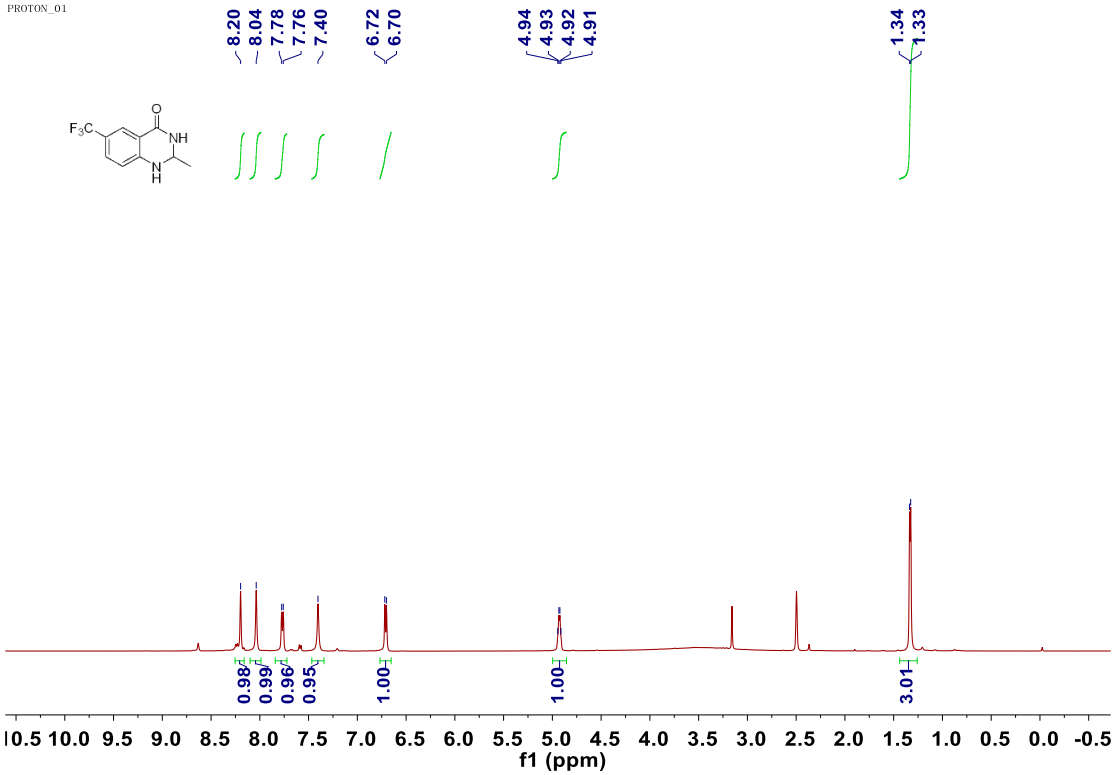
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methyl-4-oxo-1,2,3,4-tetrahydroquinazoline-6-carbonitrile (3x)



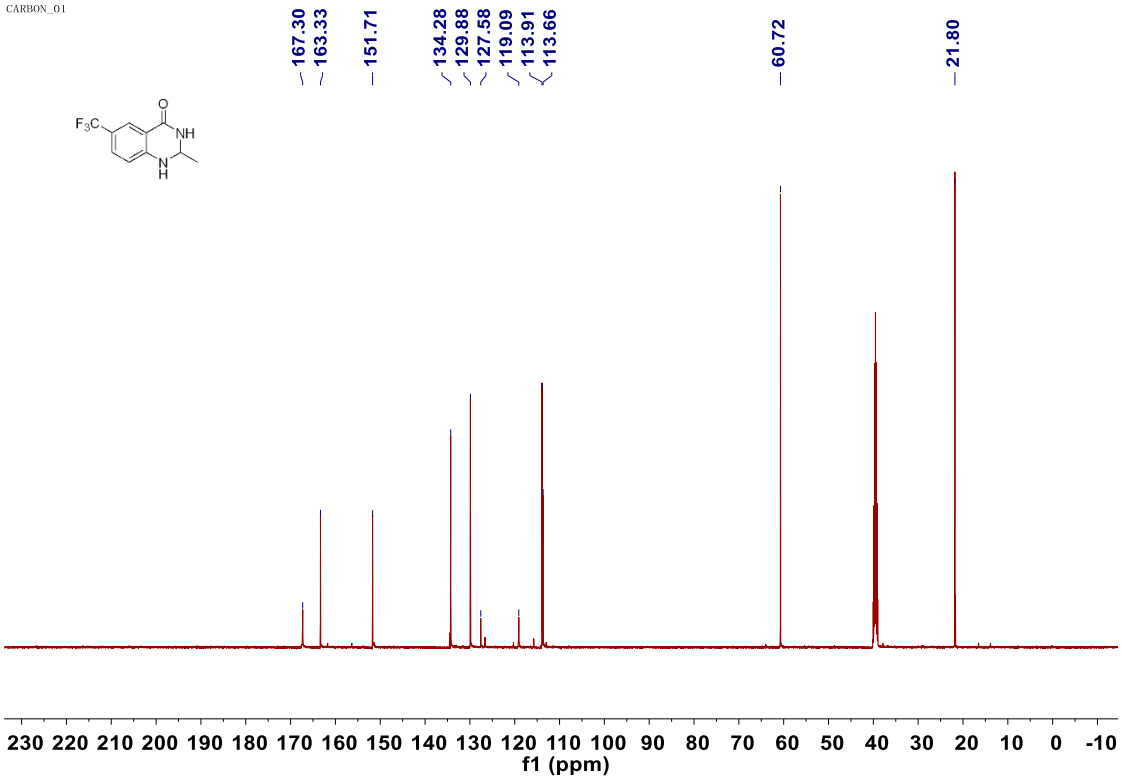
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methyl-4-oxo-1,2,3,4-tetrahydroquinazoline-6-carbonitrile (3x)



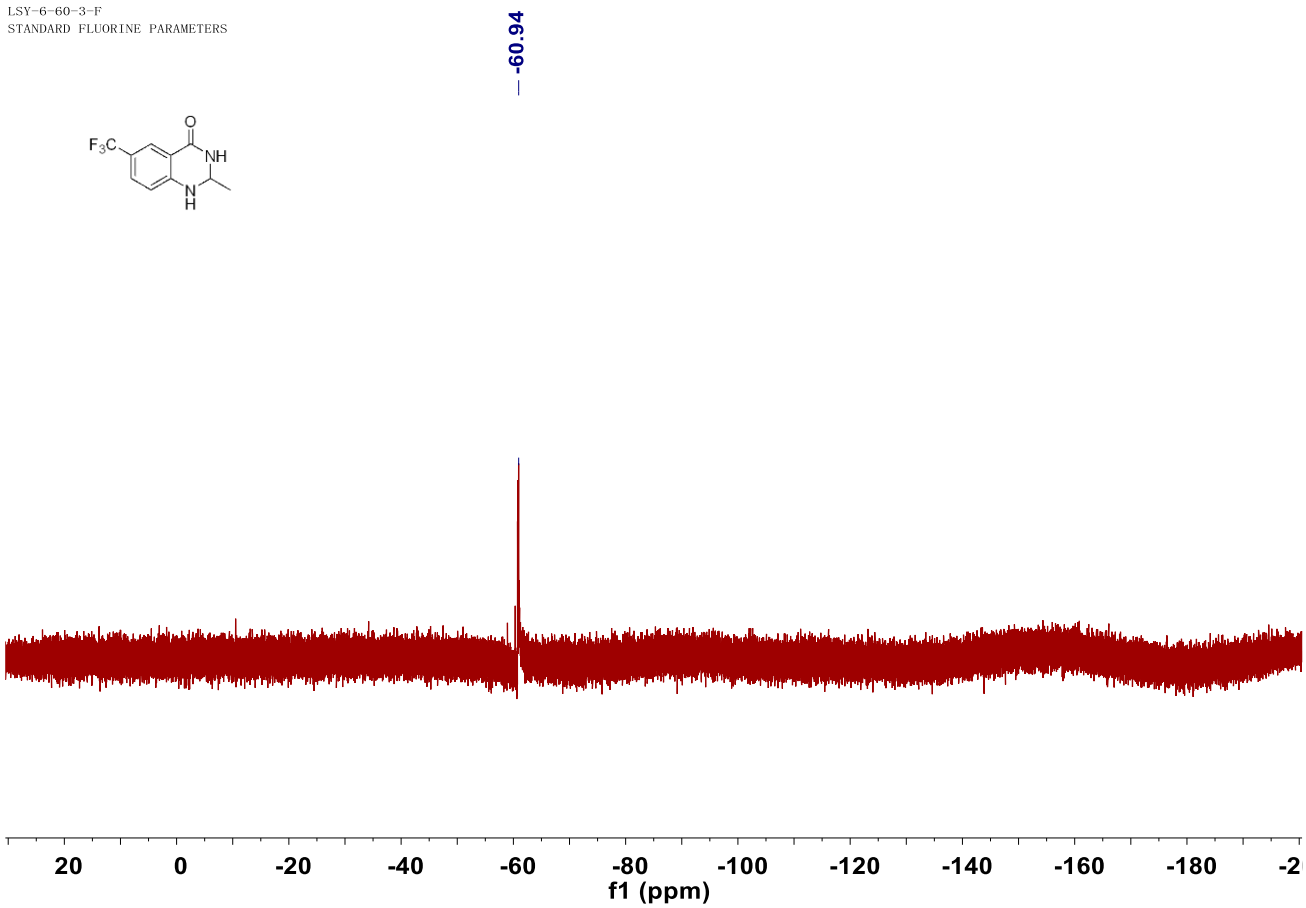
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methyl-6-(trifluoromethyl)-2,3-dihydroquinazolin-4(1H)-one (3z)



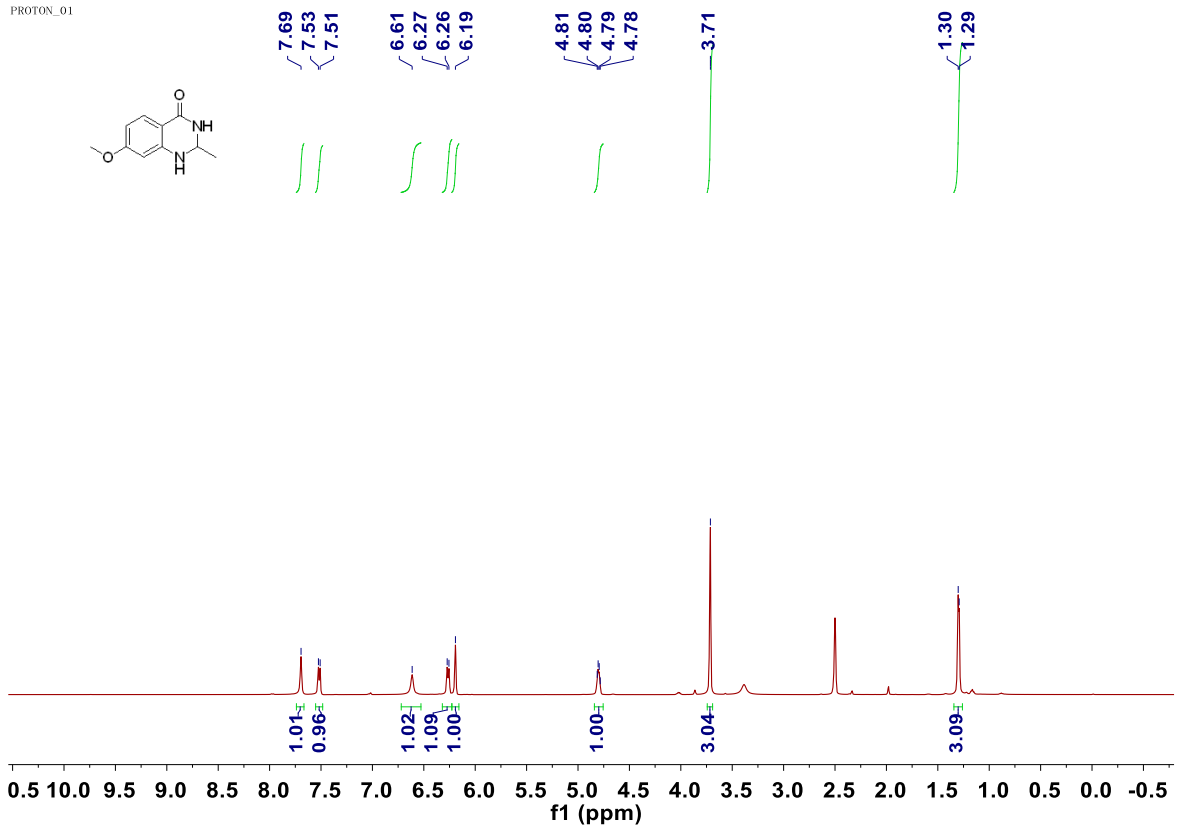
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methyl-6-(trifluoromethyl)-2,3-dihydroquinazolin-4(1H)-one (3z)



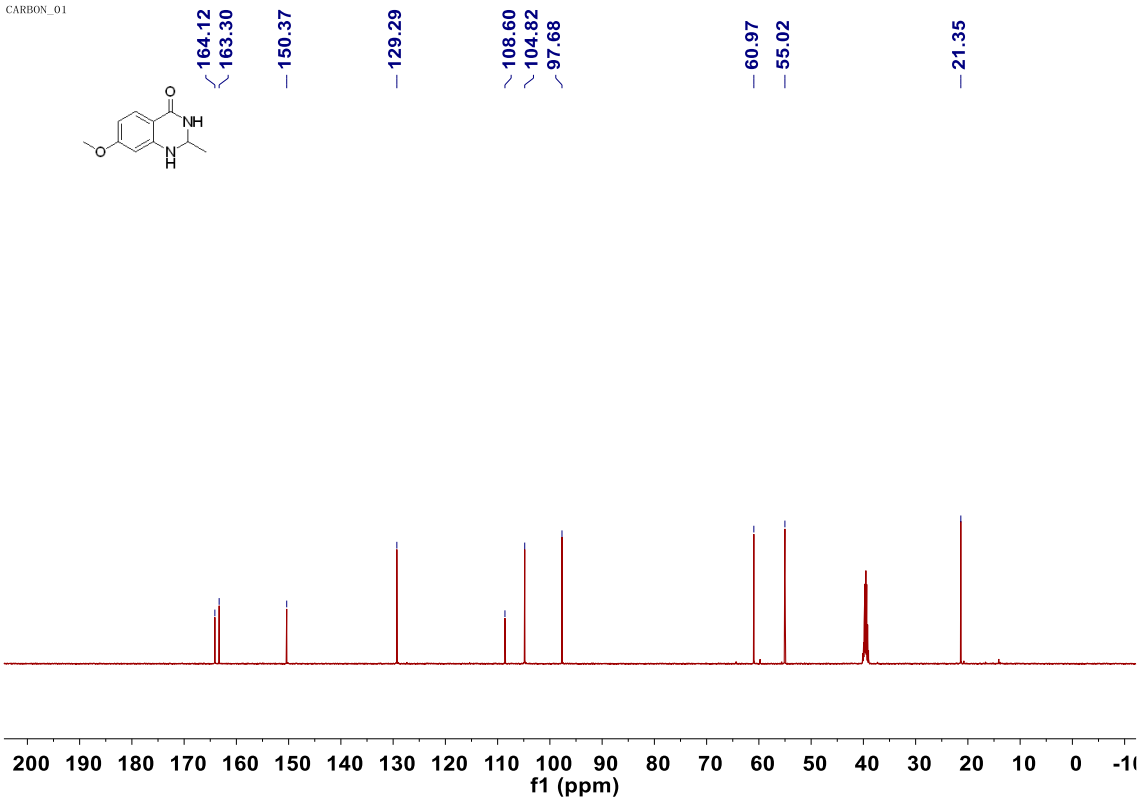
^{19}F NMR (470 MHz, DMSO-d_6) of 2-methyl-6-(trifluoromethyl)-2,3-dihydroquinazolin-4(1H)-one (3z)



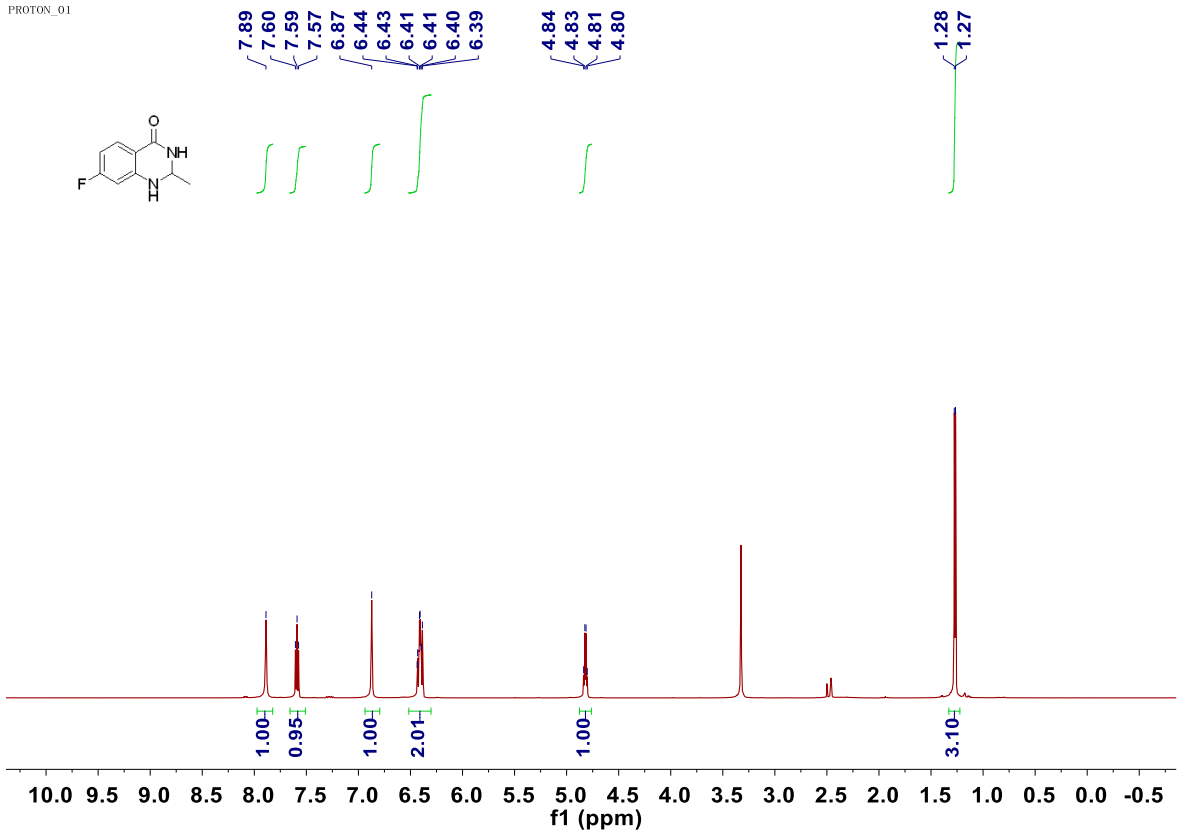
^{1}H NMR (500 MHz, DMSO-d_6) of 7-methoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ab)



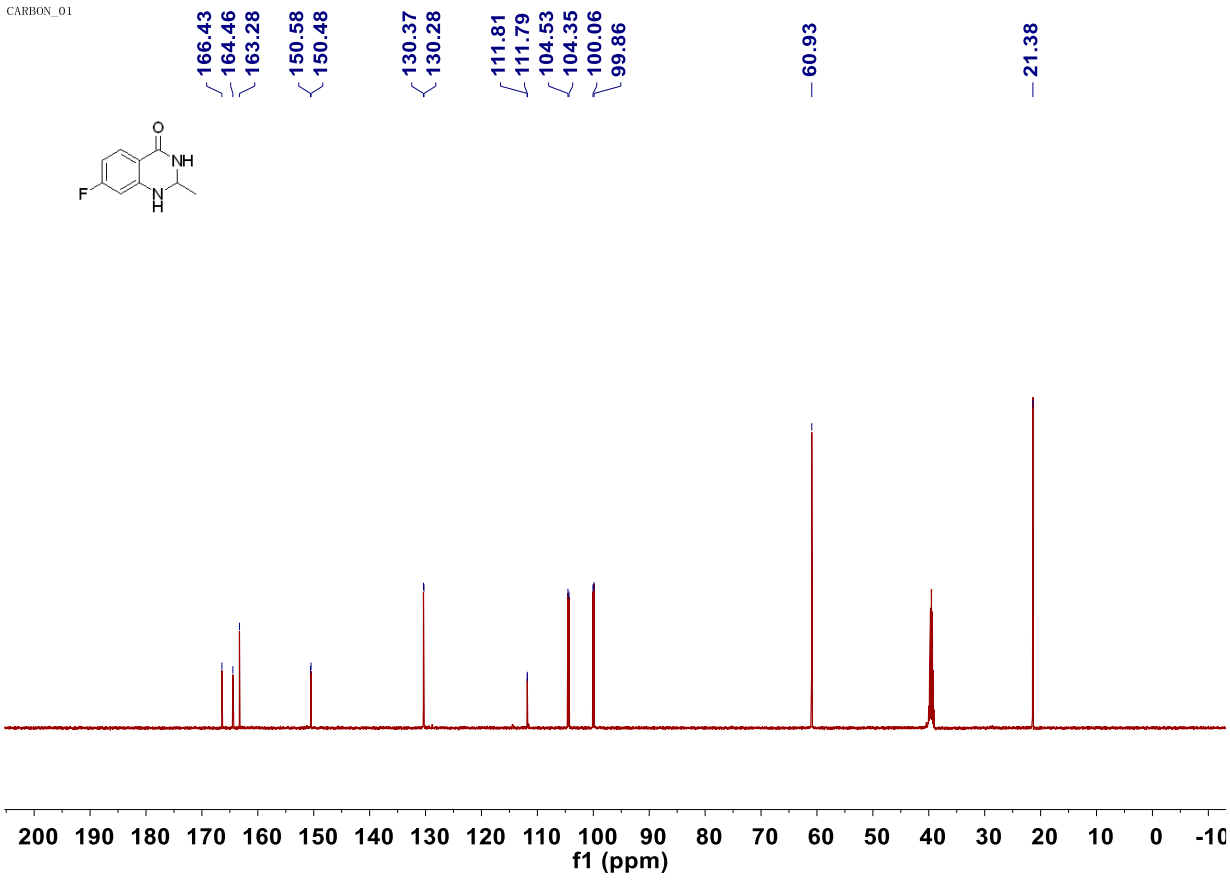
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 7-methoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ab)



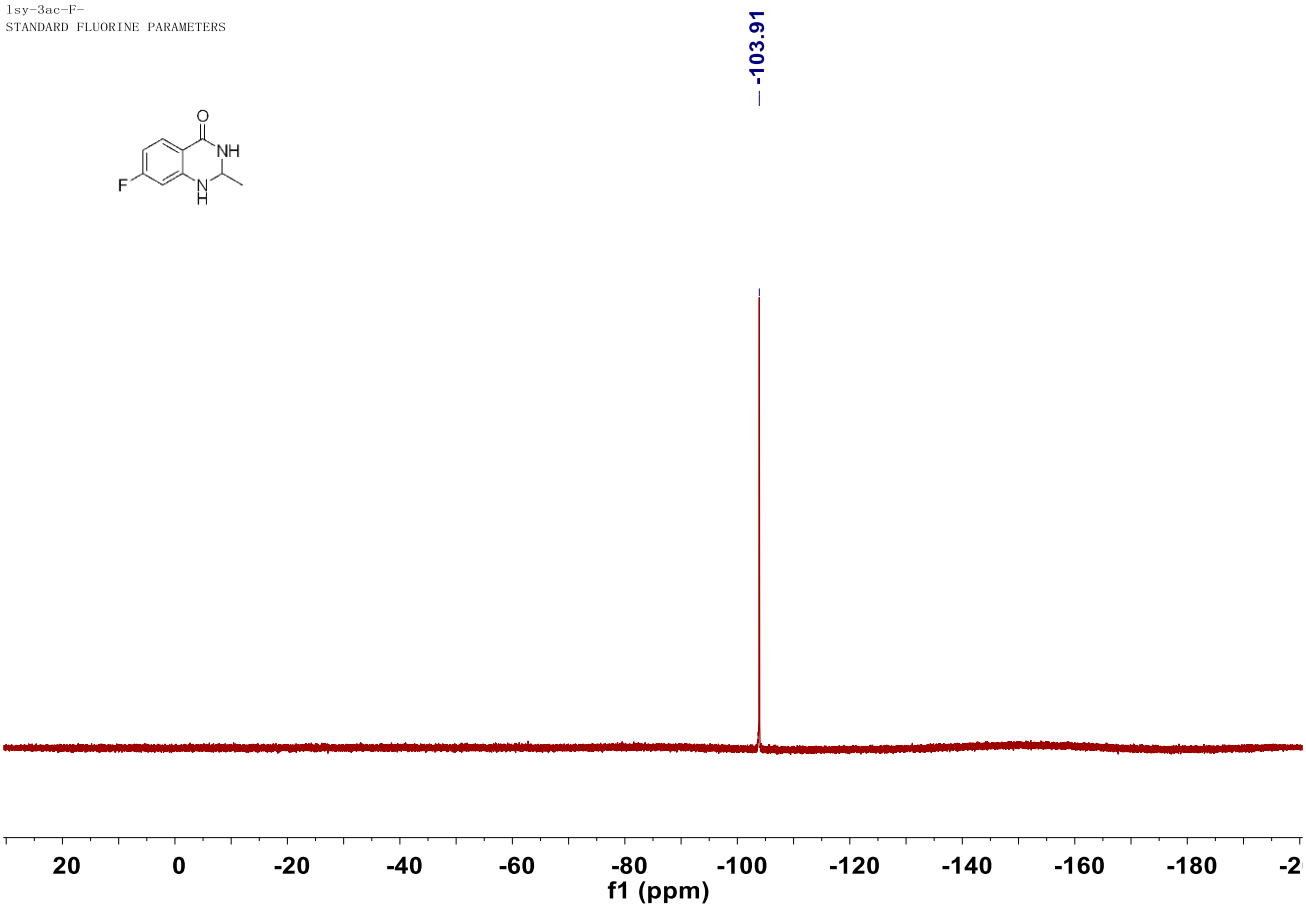
^{1}H NMR (500 MHz, DMSO-d_6) of 7-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ac)



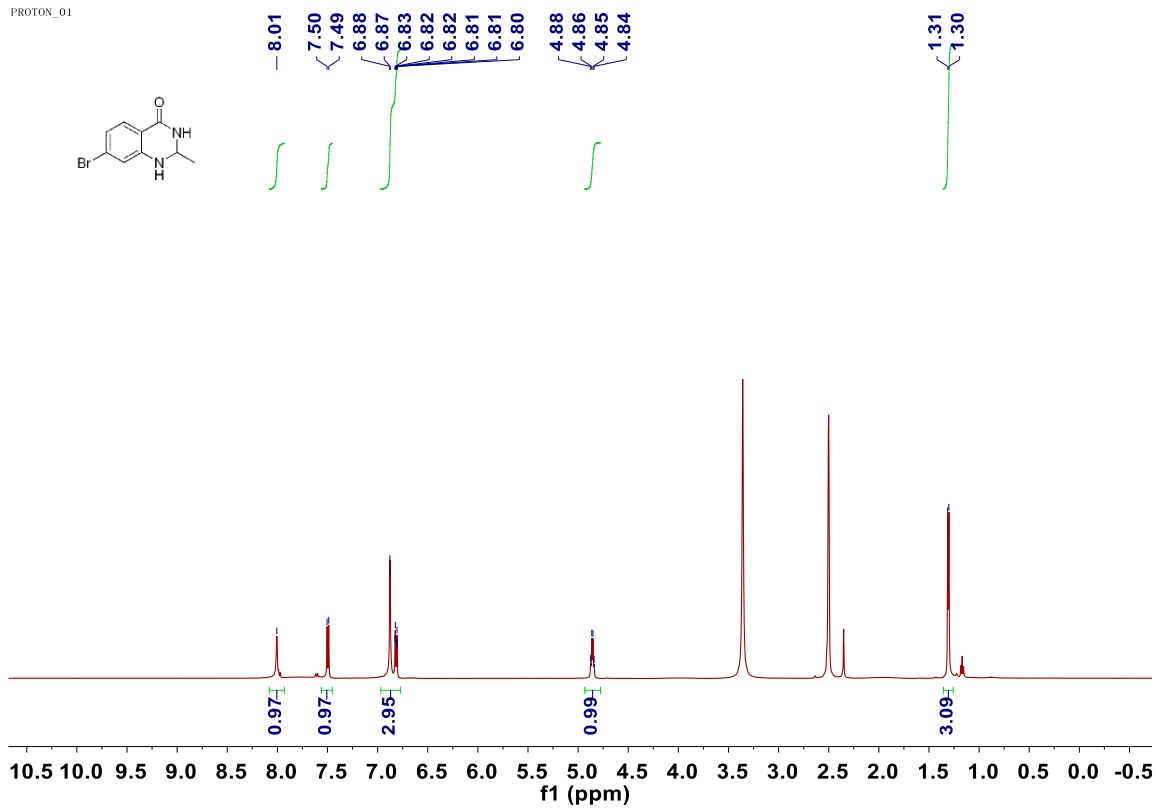
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 7-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ac)



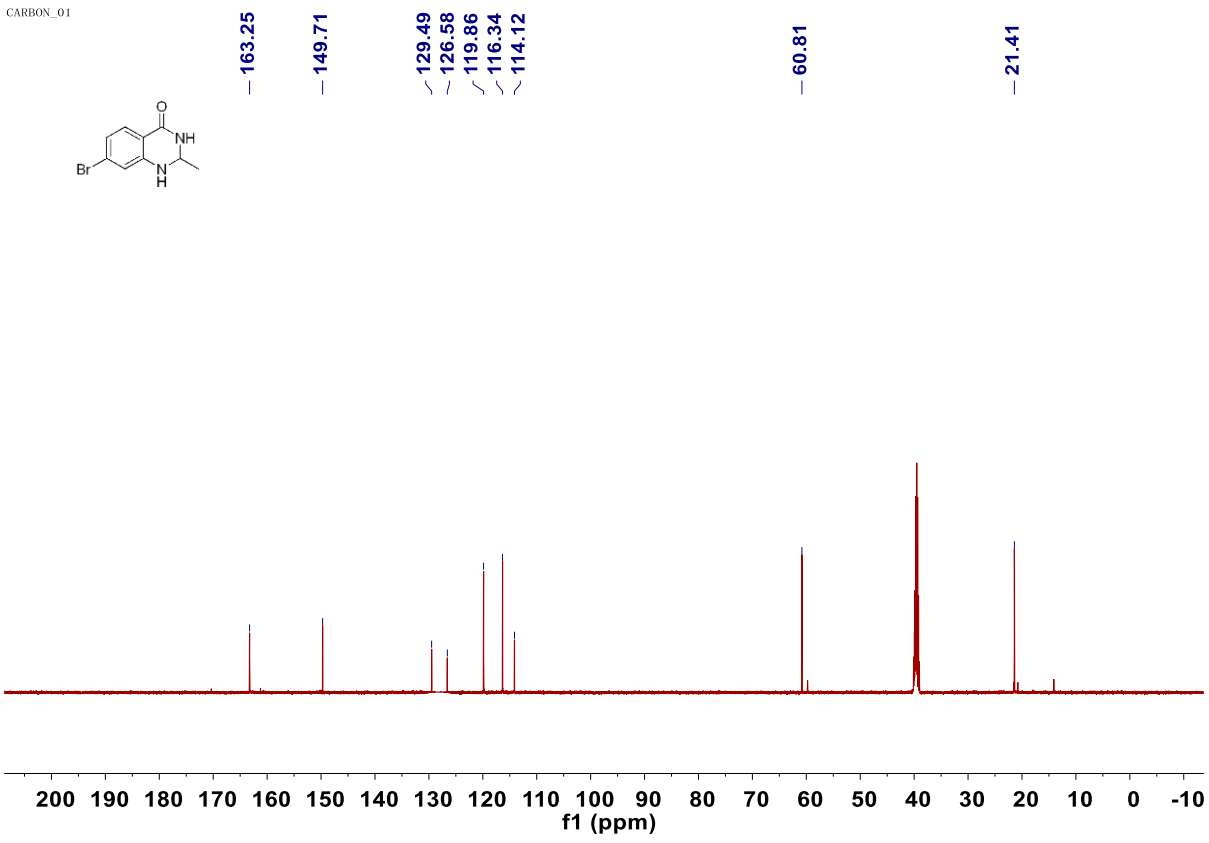
^{19}F NMR (470 MHz, DMSO-d_6) of 7-fluoro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ac)



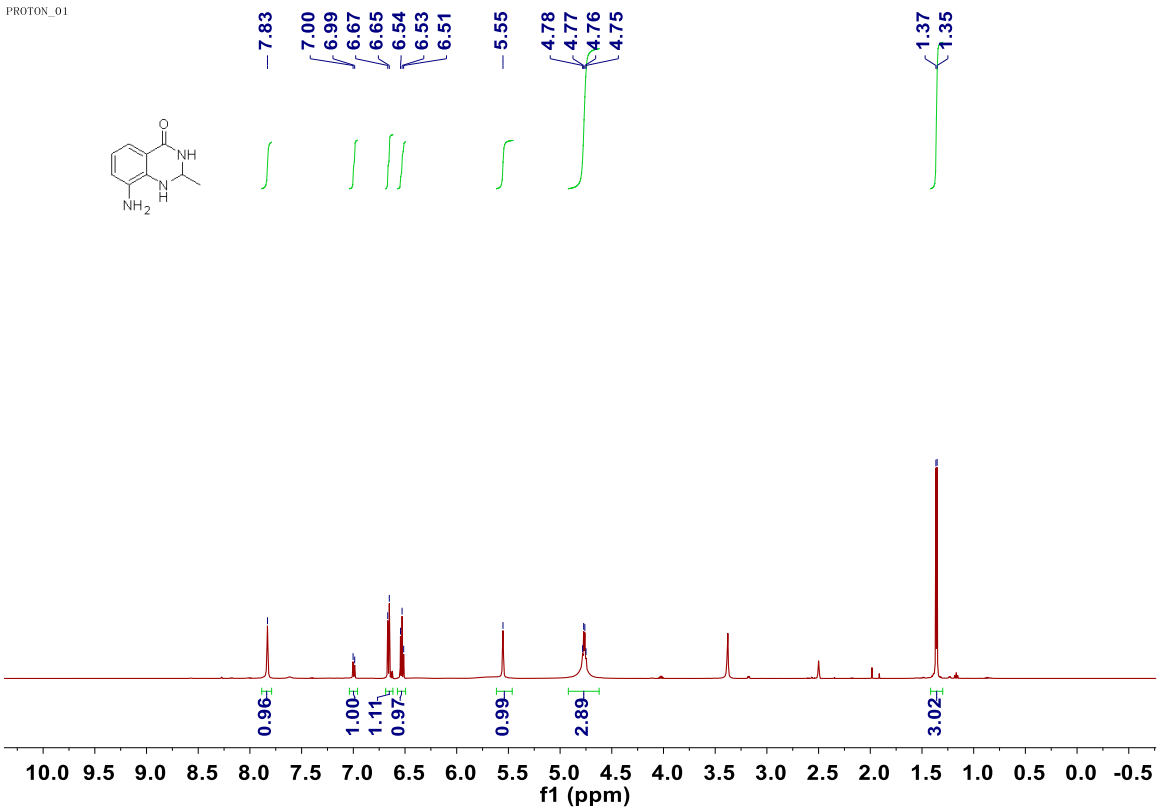
^{1}H NMR (500 MHz, DMSO-d_6) of 7-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ad)



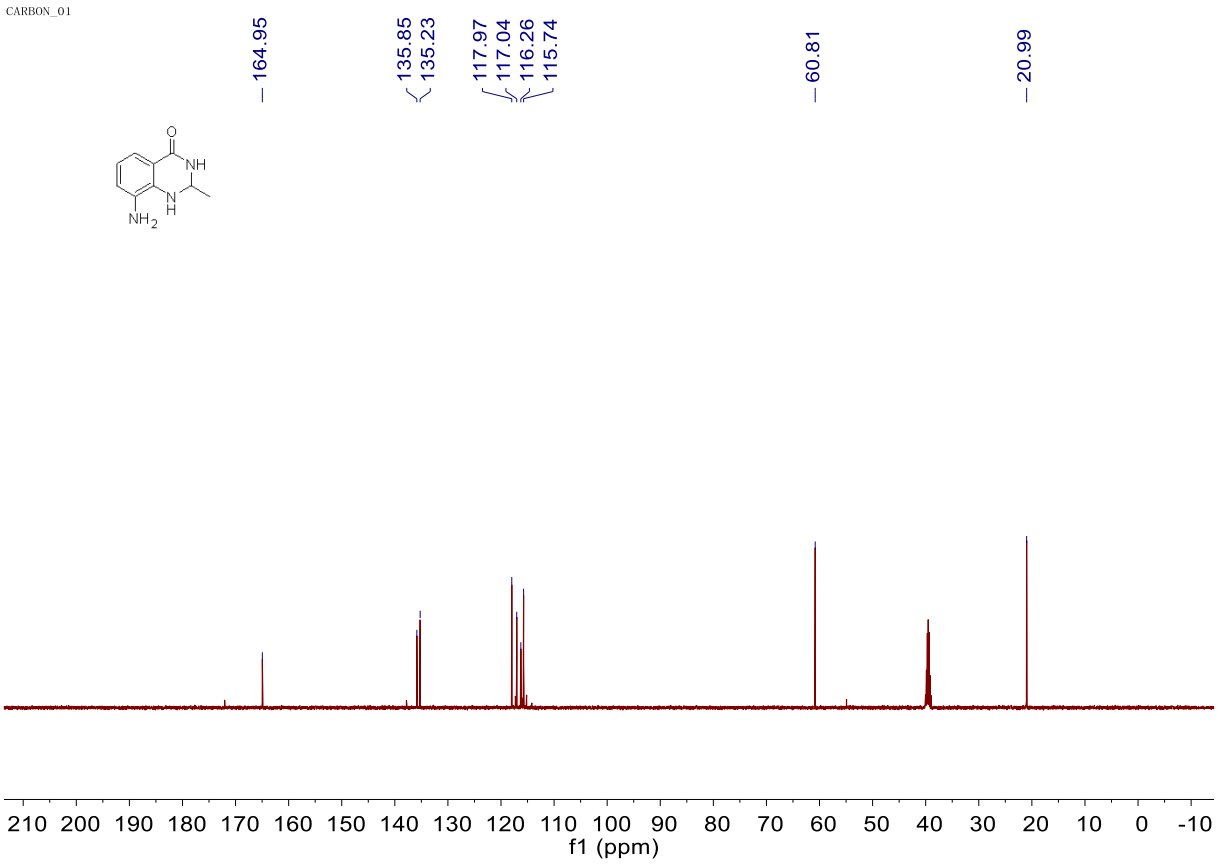
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 7-bromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ad)



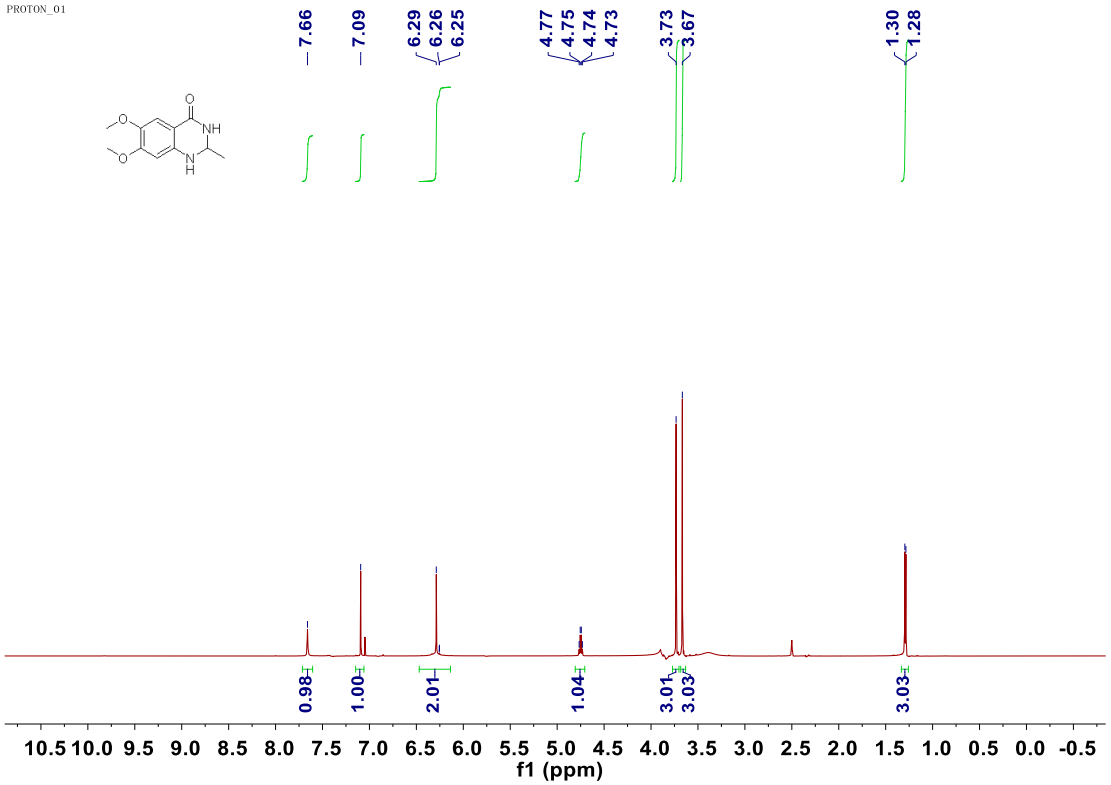
^{1}H NMR (500 MHz, DMSO-d_6) of 8-amino-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ae)



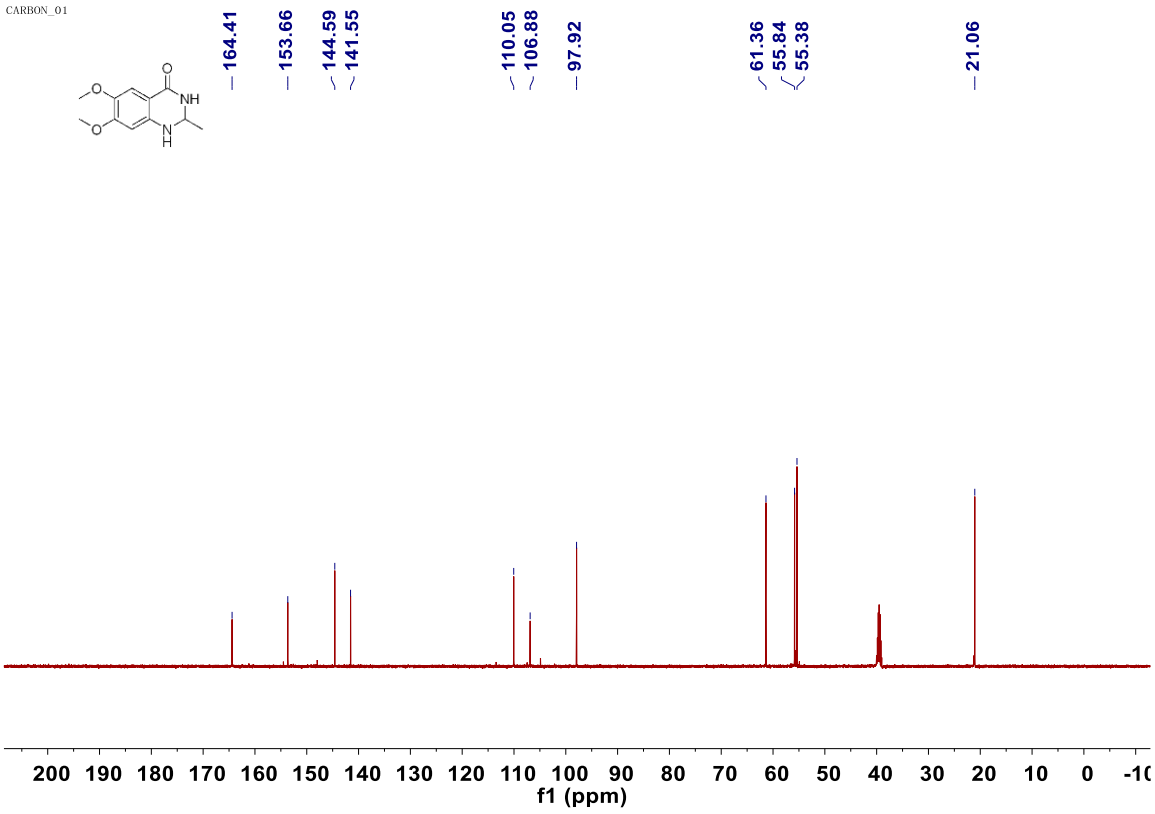
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 8-amino-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ae)



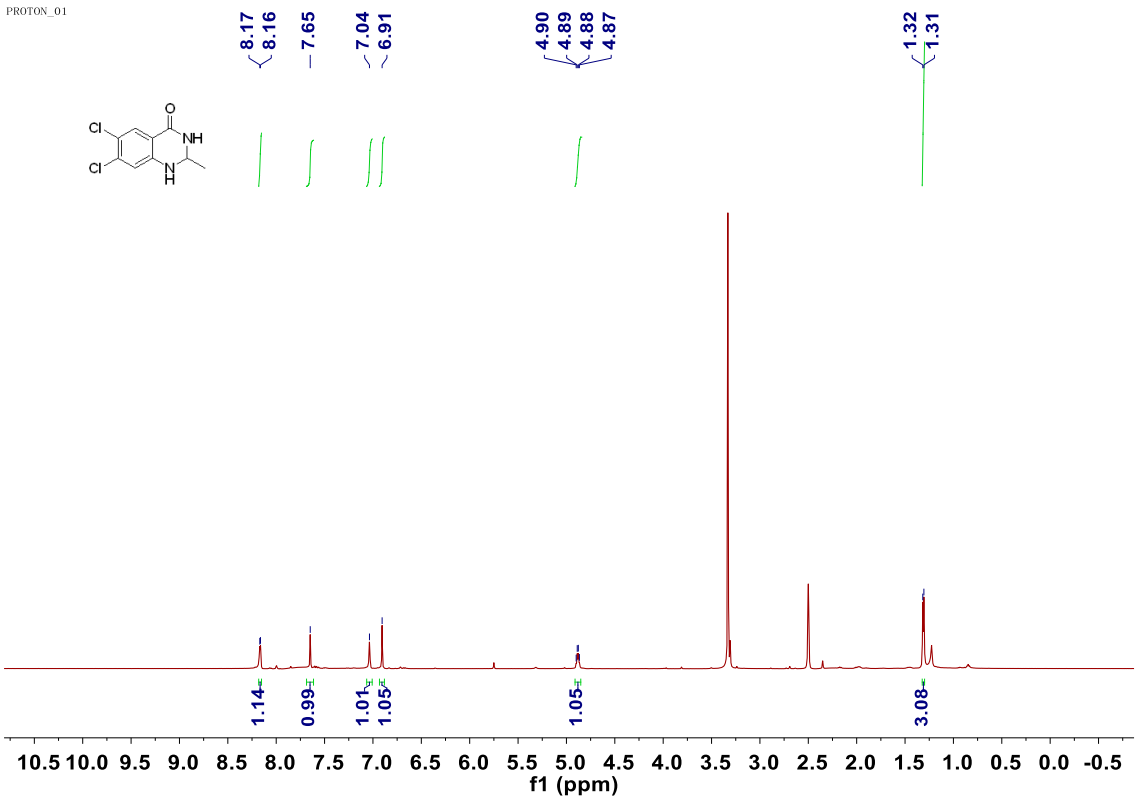
^{1}H NMR (500 MHz, DMSO-d_6) of 6,7-dimethoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3af)



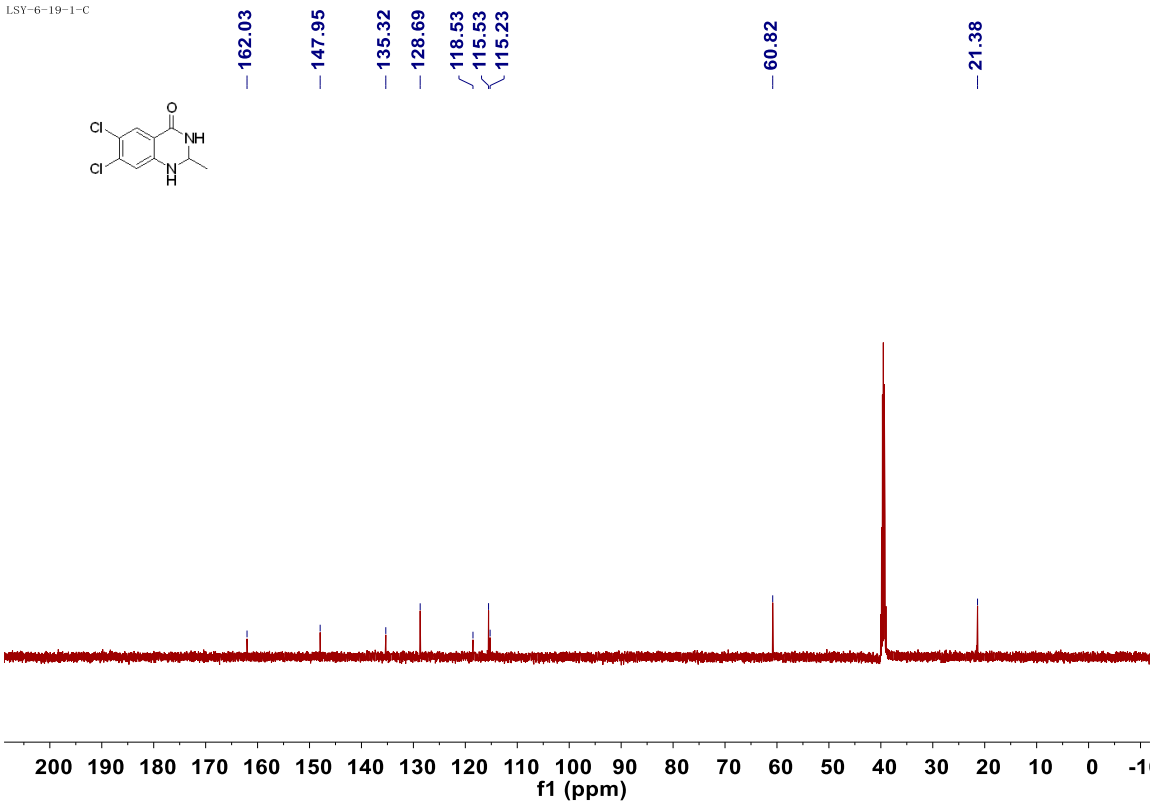
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 6,7-dimethoxy-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3af)



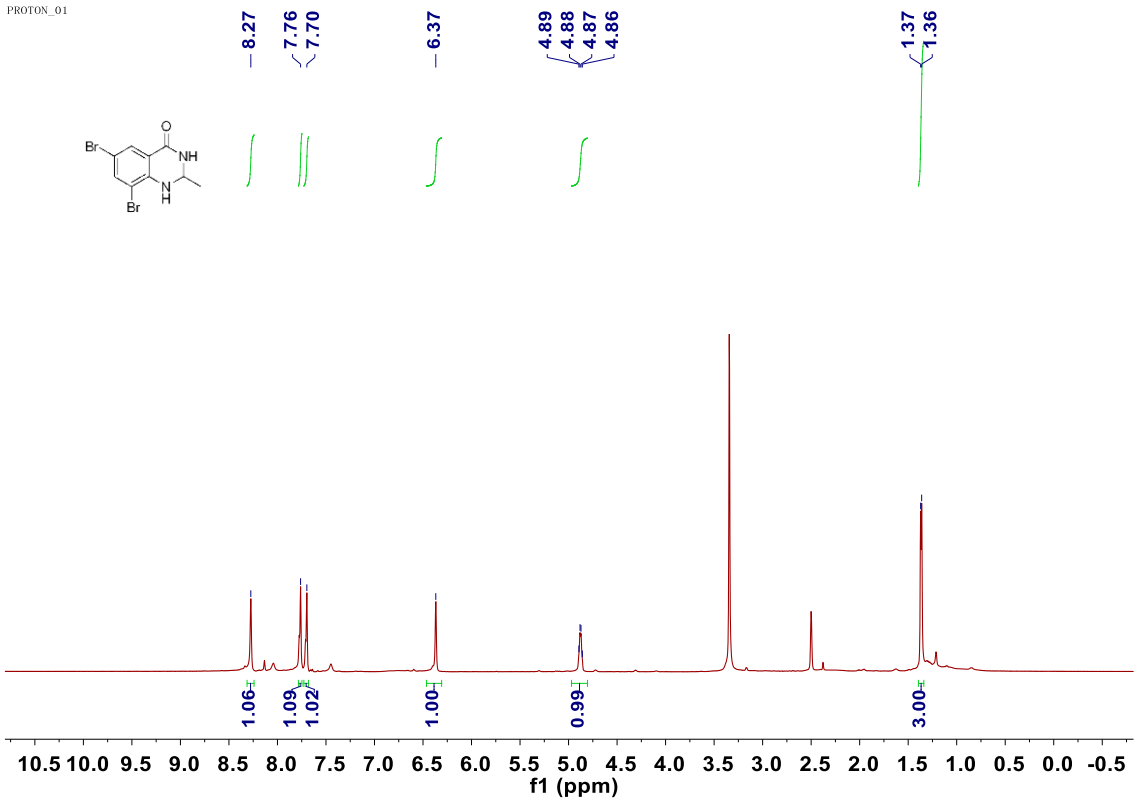
^{1}H NMR (500 MHz, DMSO-d_6) of 6,7-dichloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ag)



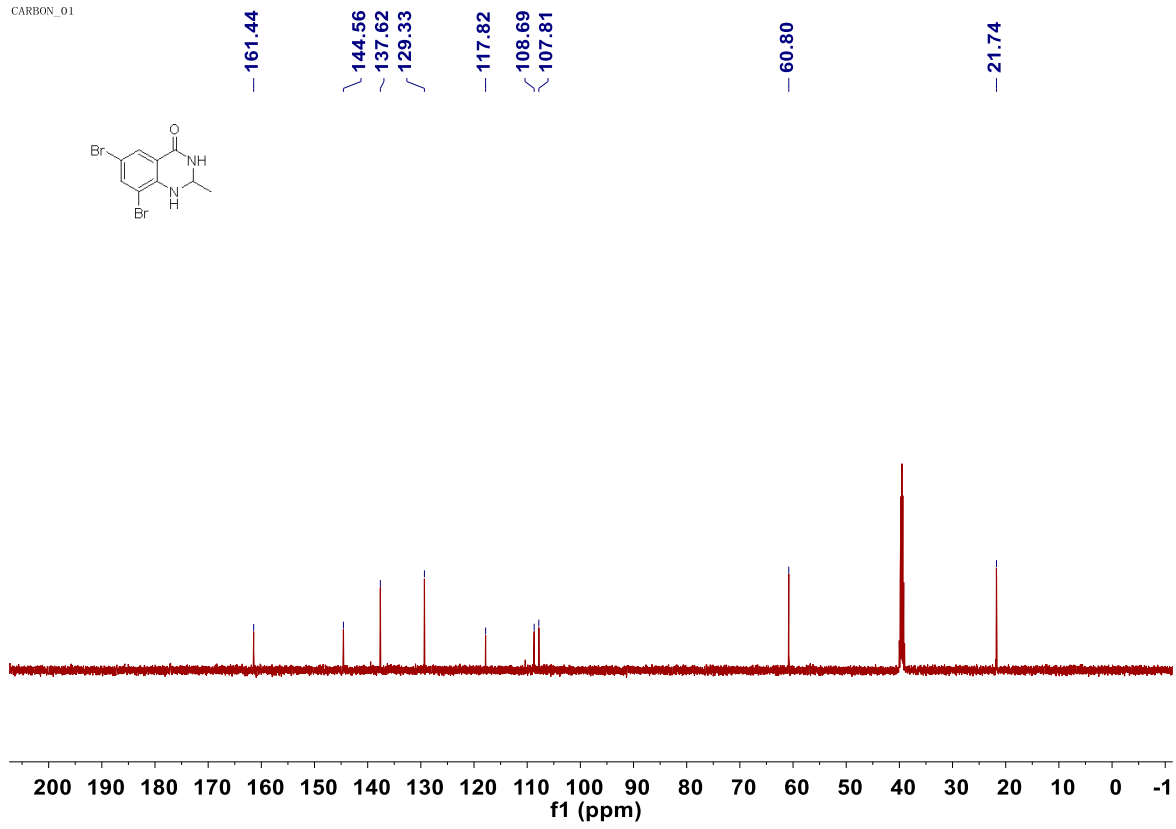
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 6,7-dichloro-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ag)



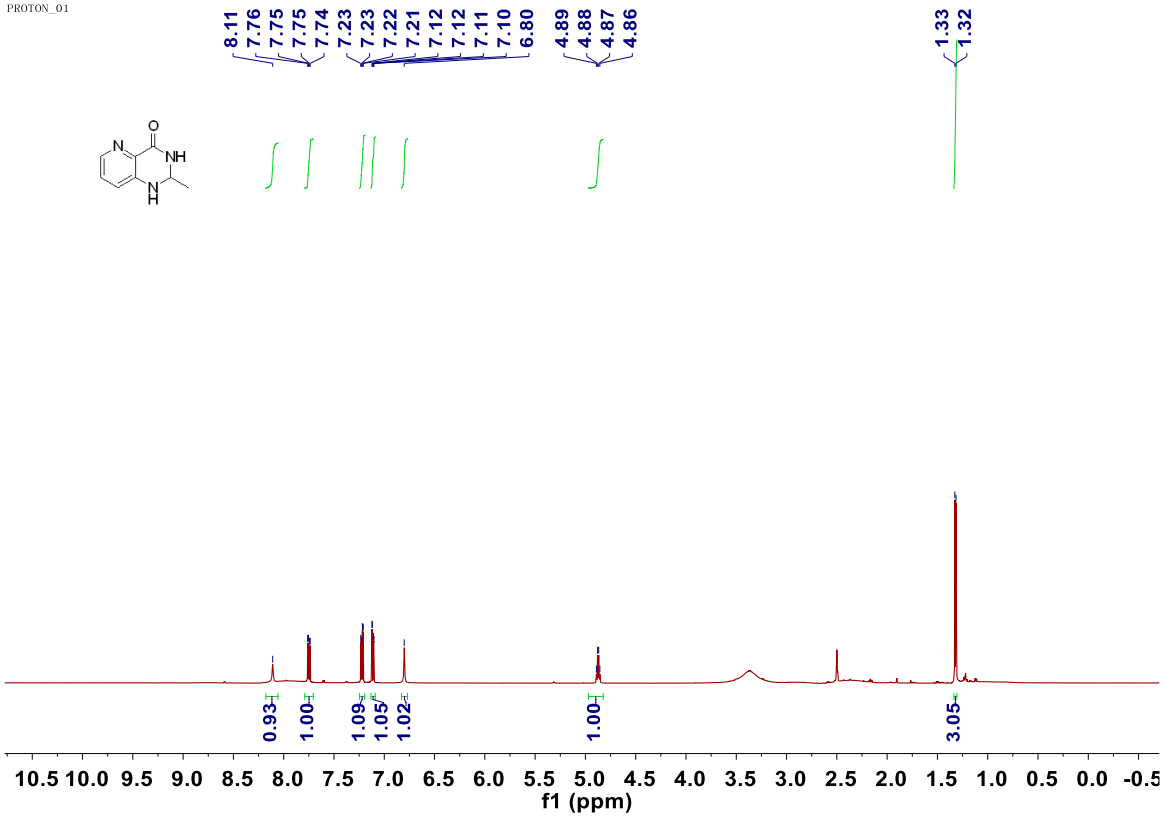
^{1}H NMR (500 MHz, DMSO-*d*$_6$) of 6,8-dibromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ah)



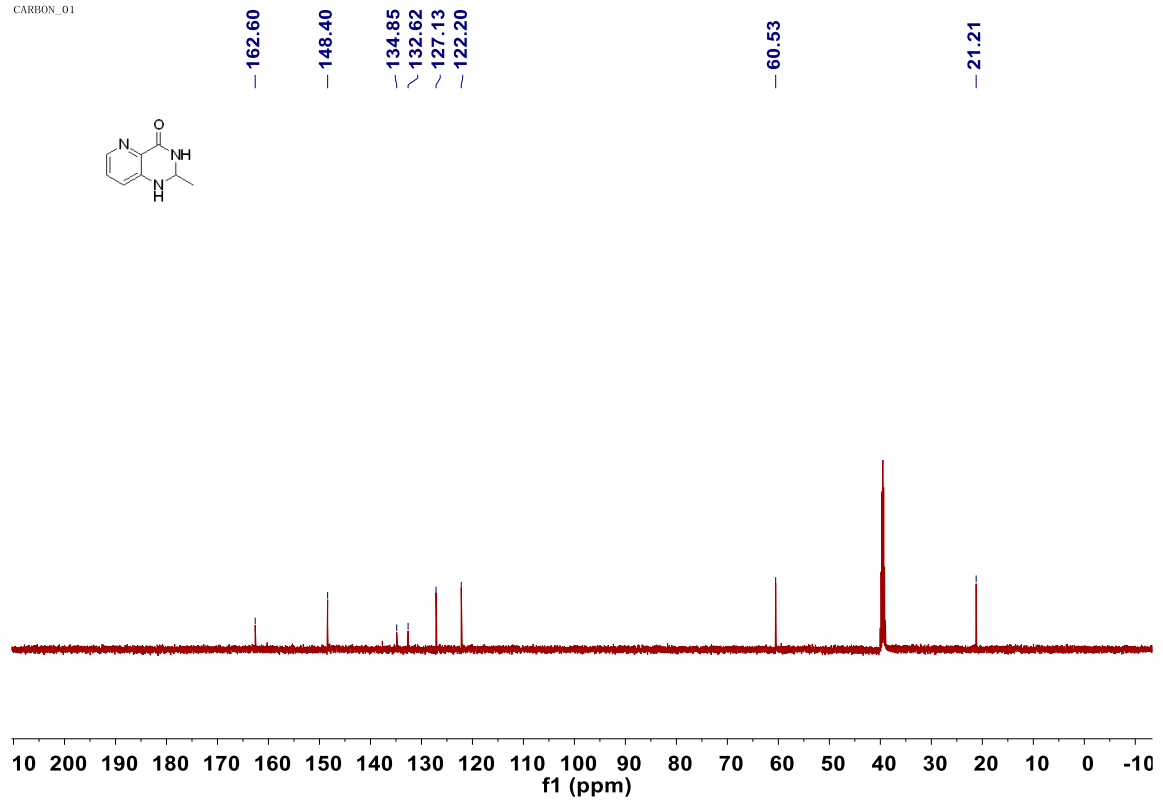
^{13}C{^{1}H} NMR (126 MHz, DMSO-*d*$_6$) of 6,8-dibromo-2-methyl-2,3-dihydroquinazolin-4(1H)-one (3ah)



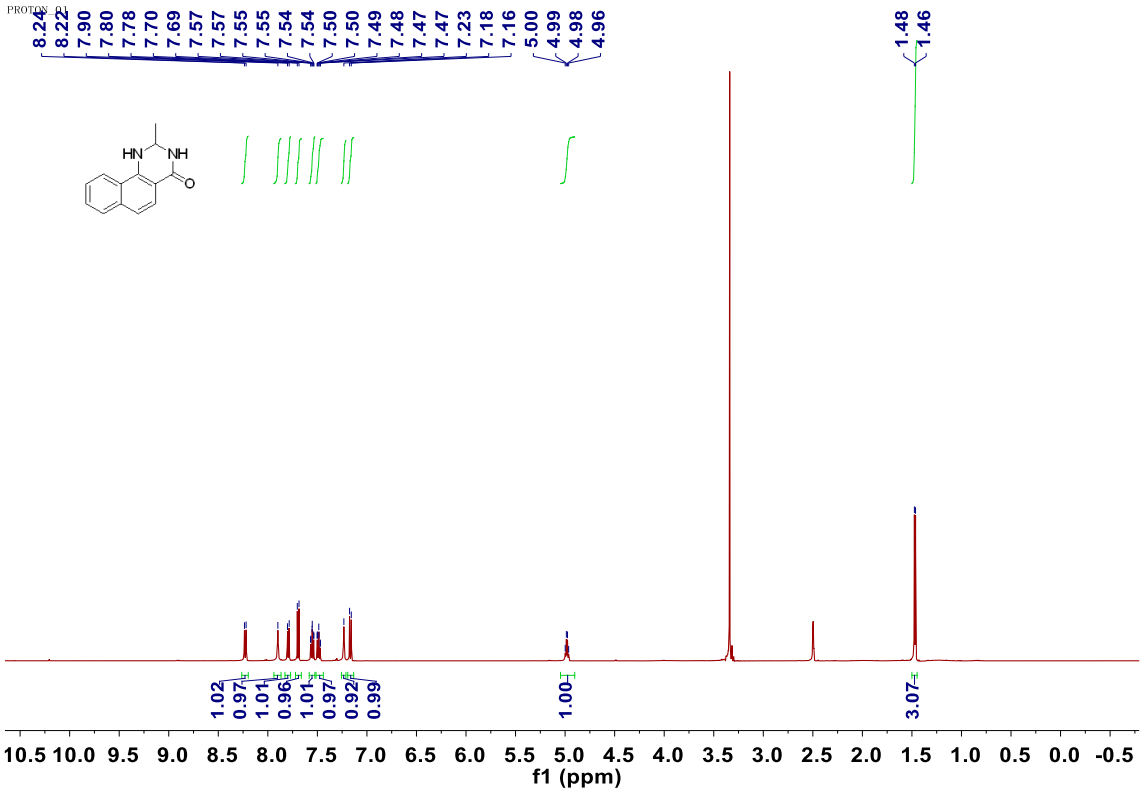
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methyl-2,3-dihydropyrido[3,2-d]pyrimidin-4(1H)-one (3ai)



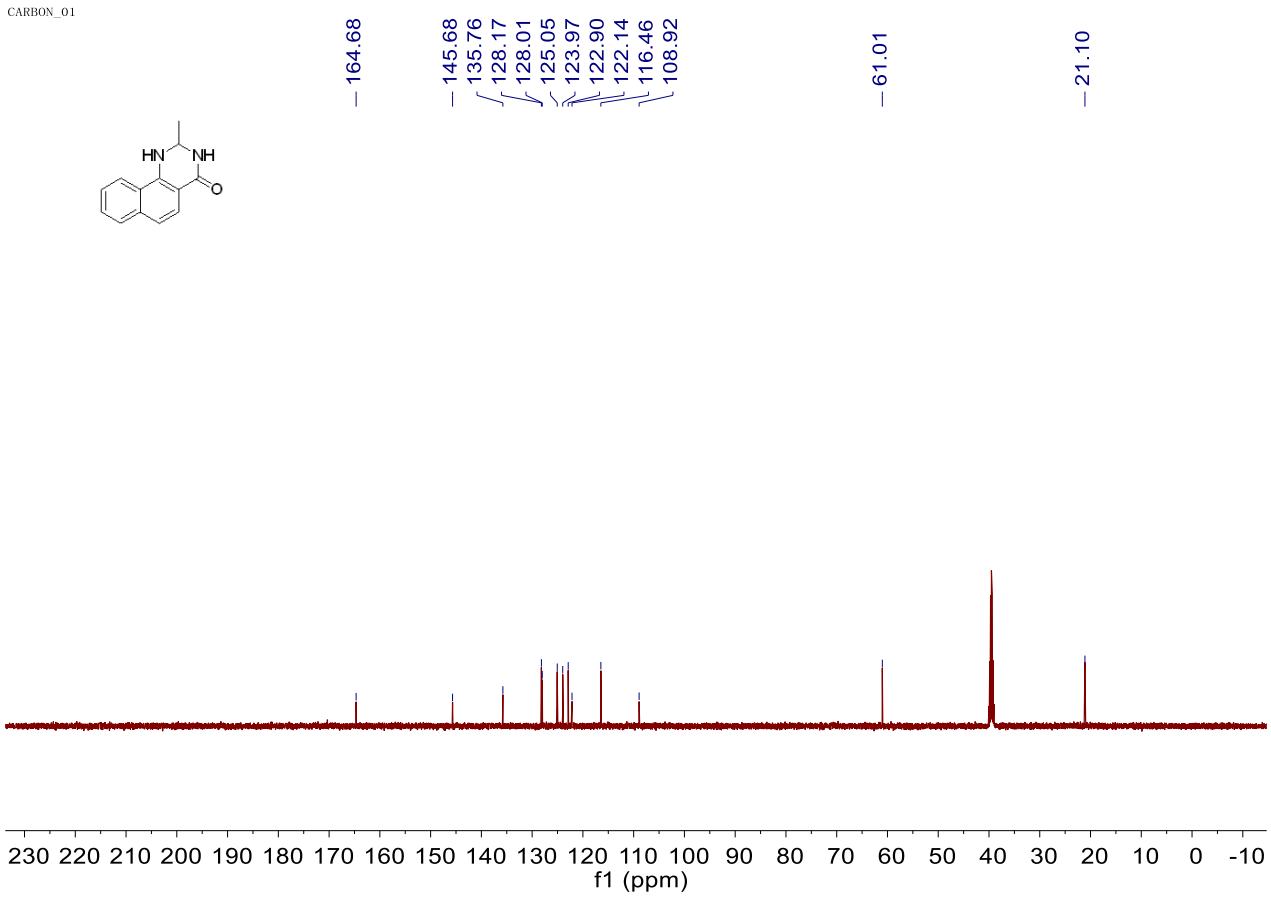
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methyl-2,3-dihydropyrido[3,2-d]pyrimidin-4(1H)-one (3ai)



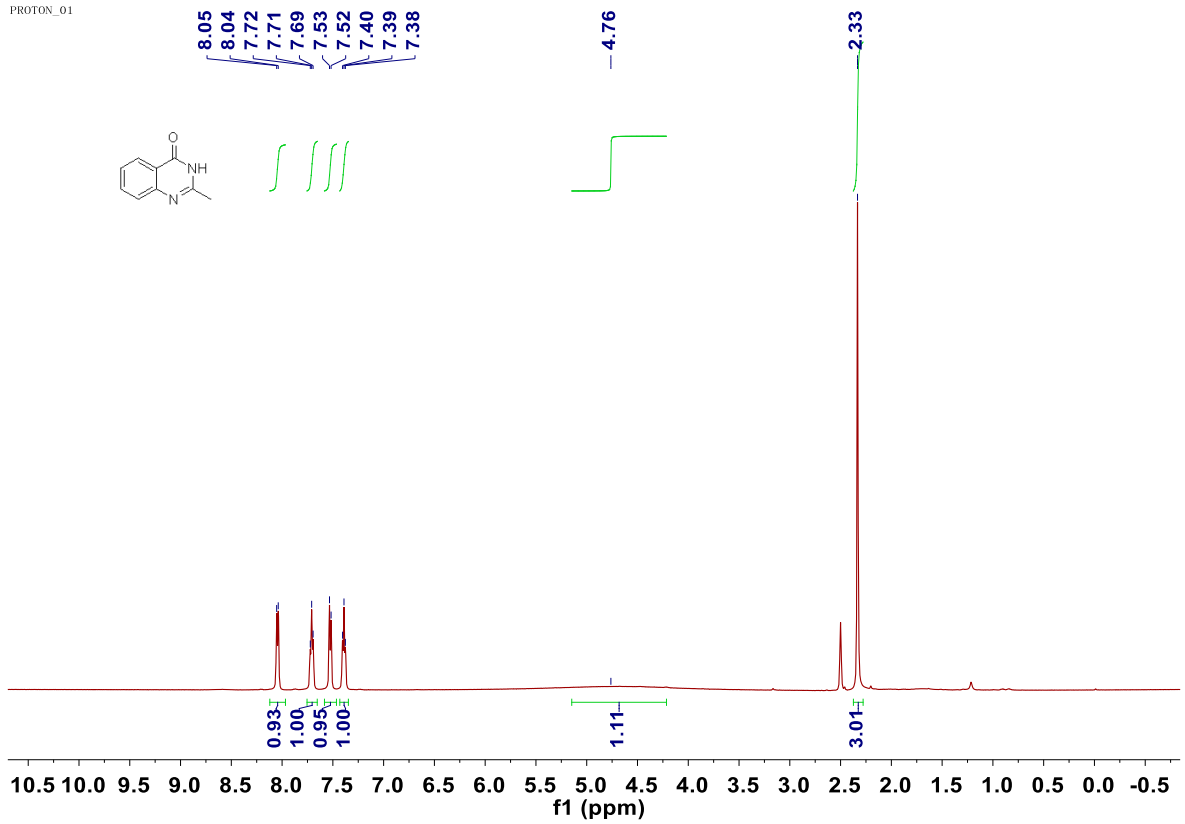
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methyl-2,3-dihydrobenzo[h]quinazolin-4(1H)-one (3ak)



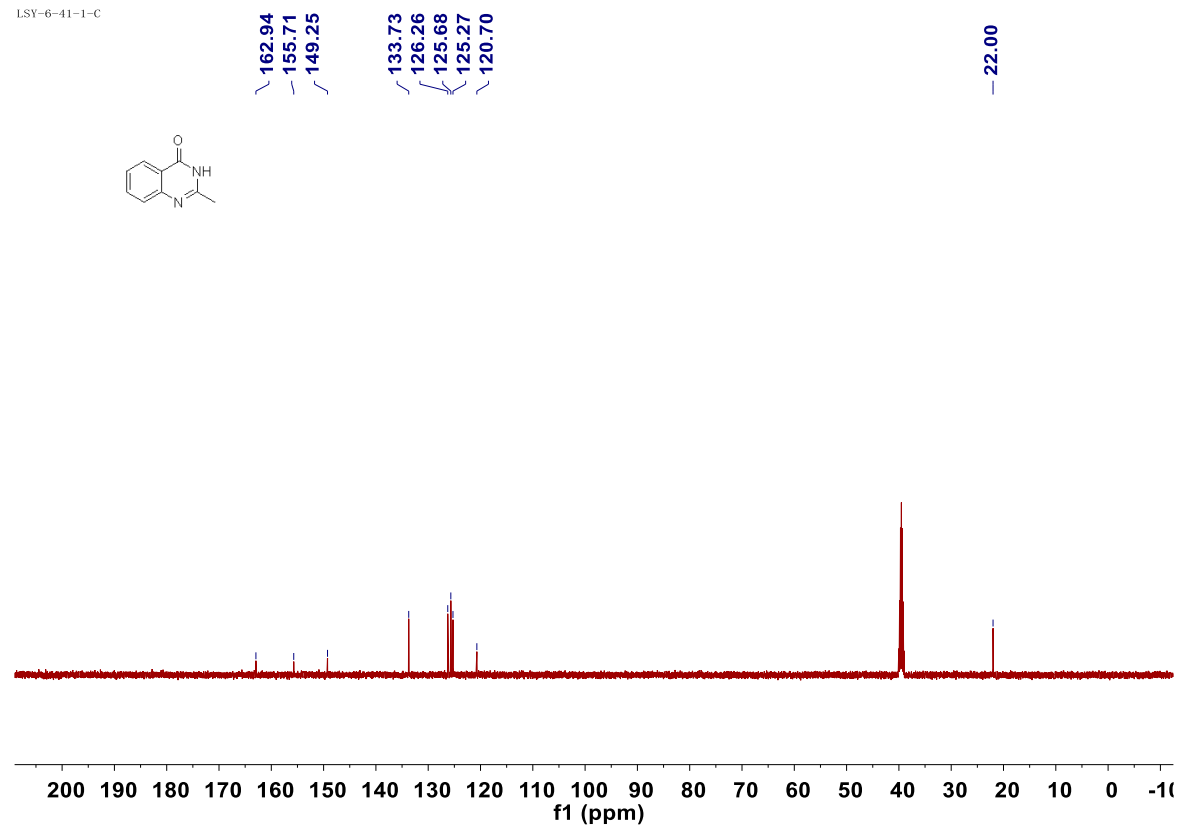
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methyl-2,3-dihydrobenzo[h]quinazolin-4(1H)-one (3ak)



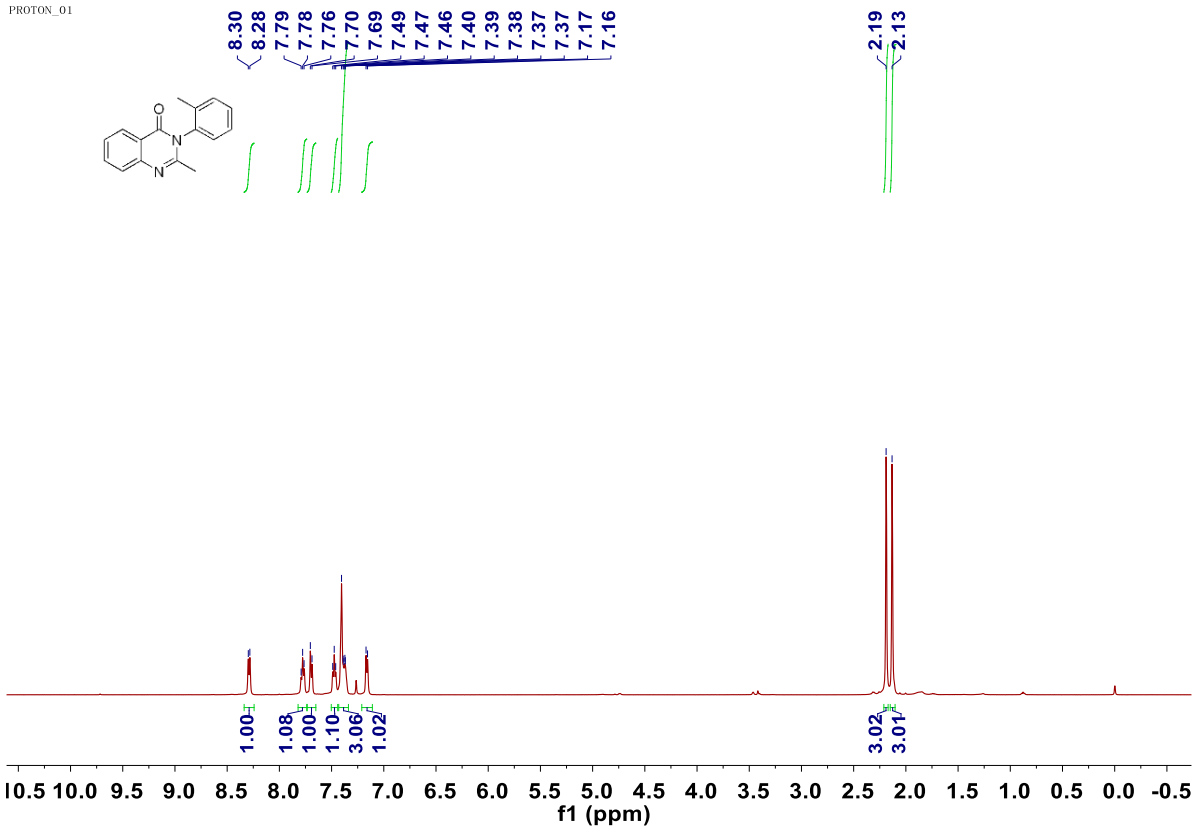
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methylquinazolin-4(3H)-one (4a)



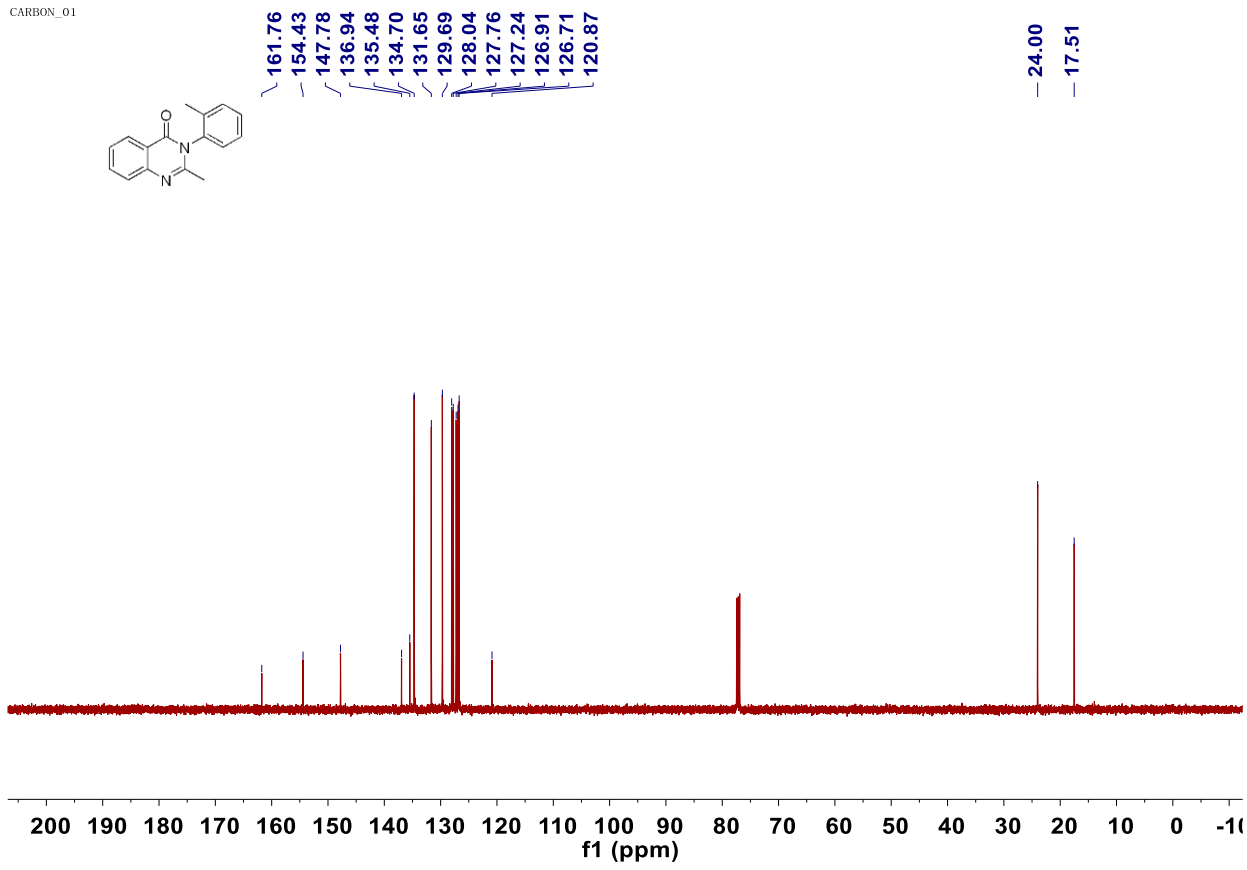
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methylquinazolin-4(3H)-one (4a)



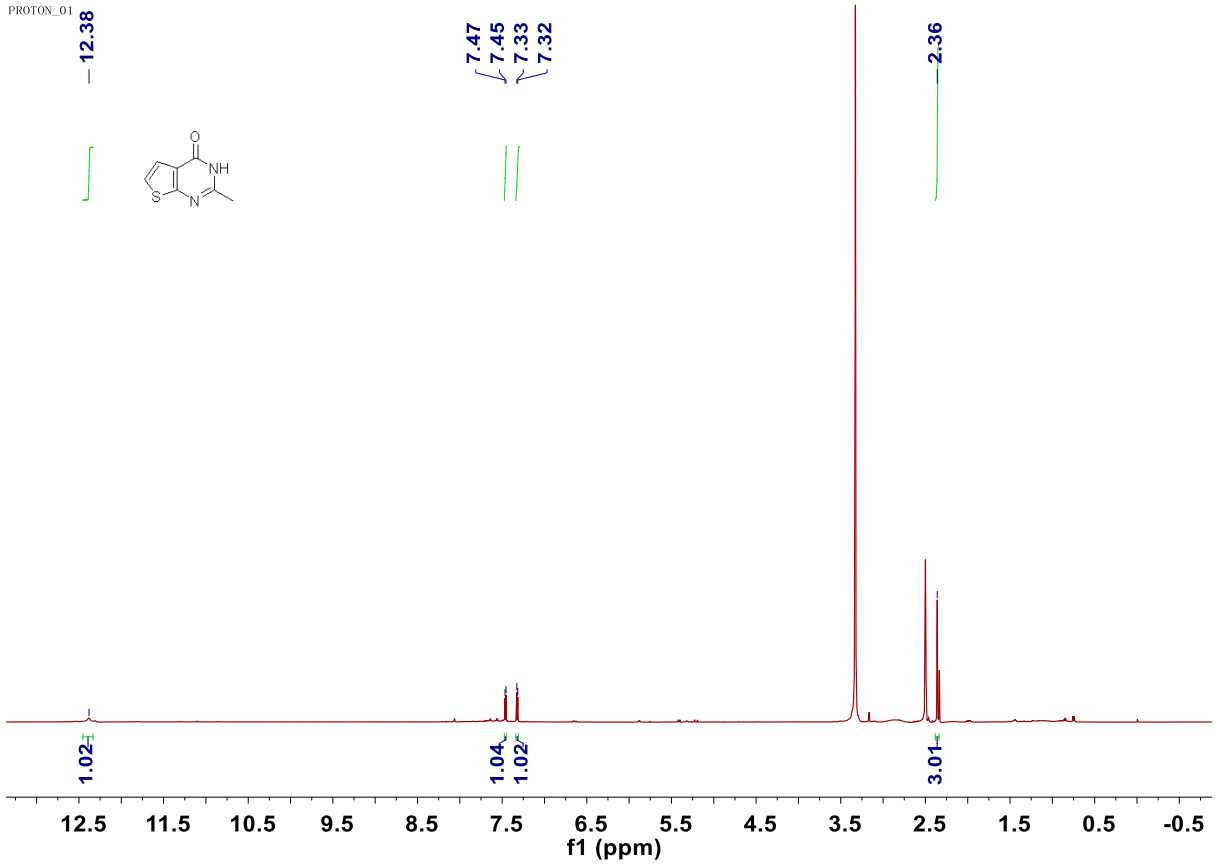
^{1}H NMR (500 MHz, Chloroform-*d*) of 2-methyl-3-(o-tolyl)quinazolin-4(3H)-one (4m)



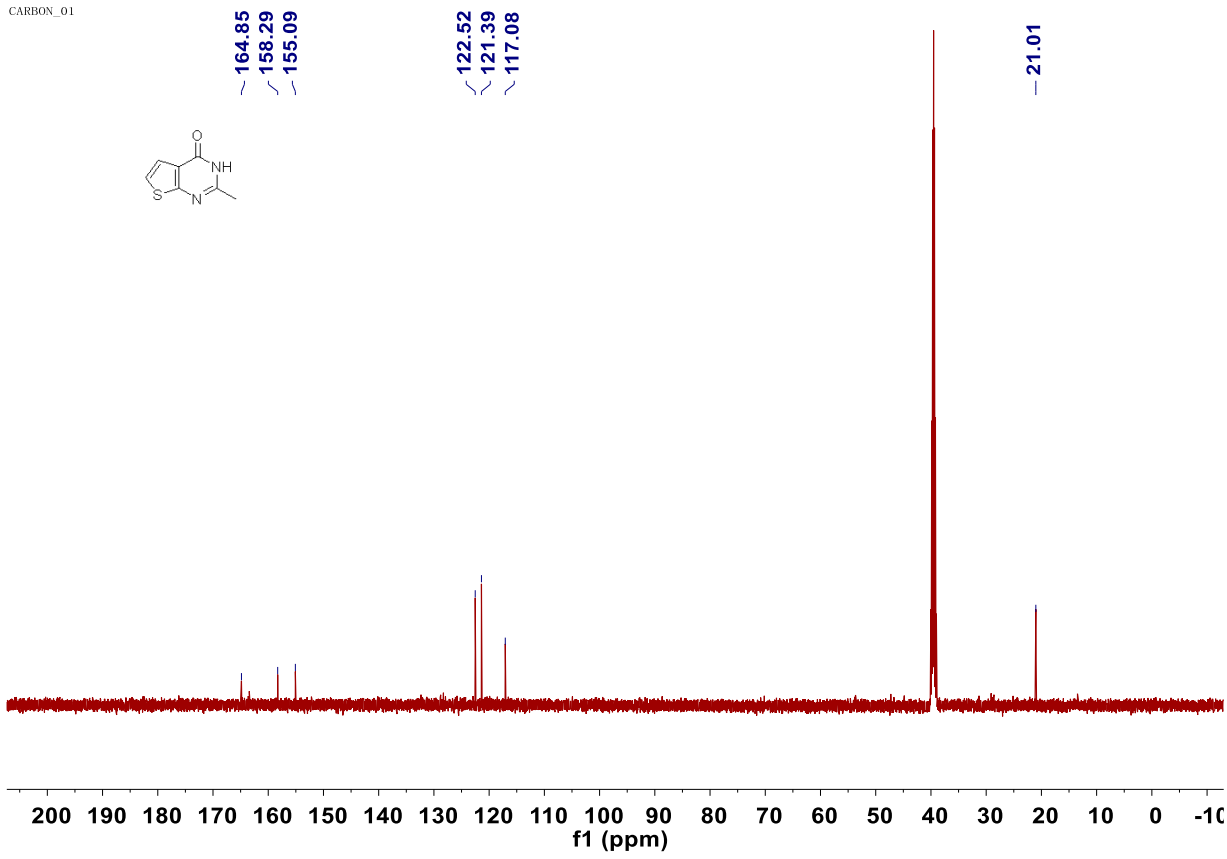
^{13}C{^{1}H} NMR (126 MHz, Chloroform-*d*) of 2-methyl-3-(o-tolyl)quinazolin-4(3H)-one (4m)



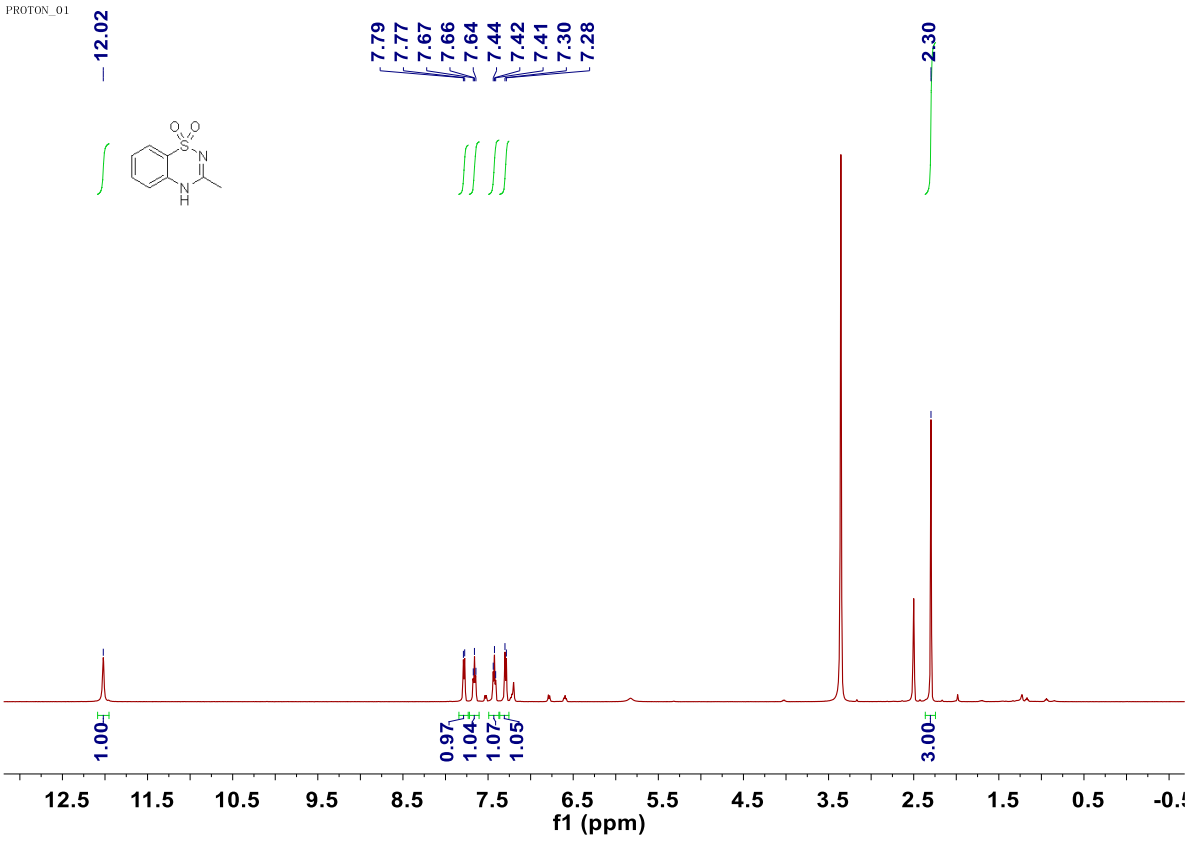
^{1}H NMR (500 MHz, DMSO-d_6) of 2-methylthieno[2,3-d]pyrimidin-4(3H)-one (4q)



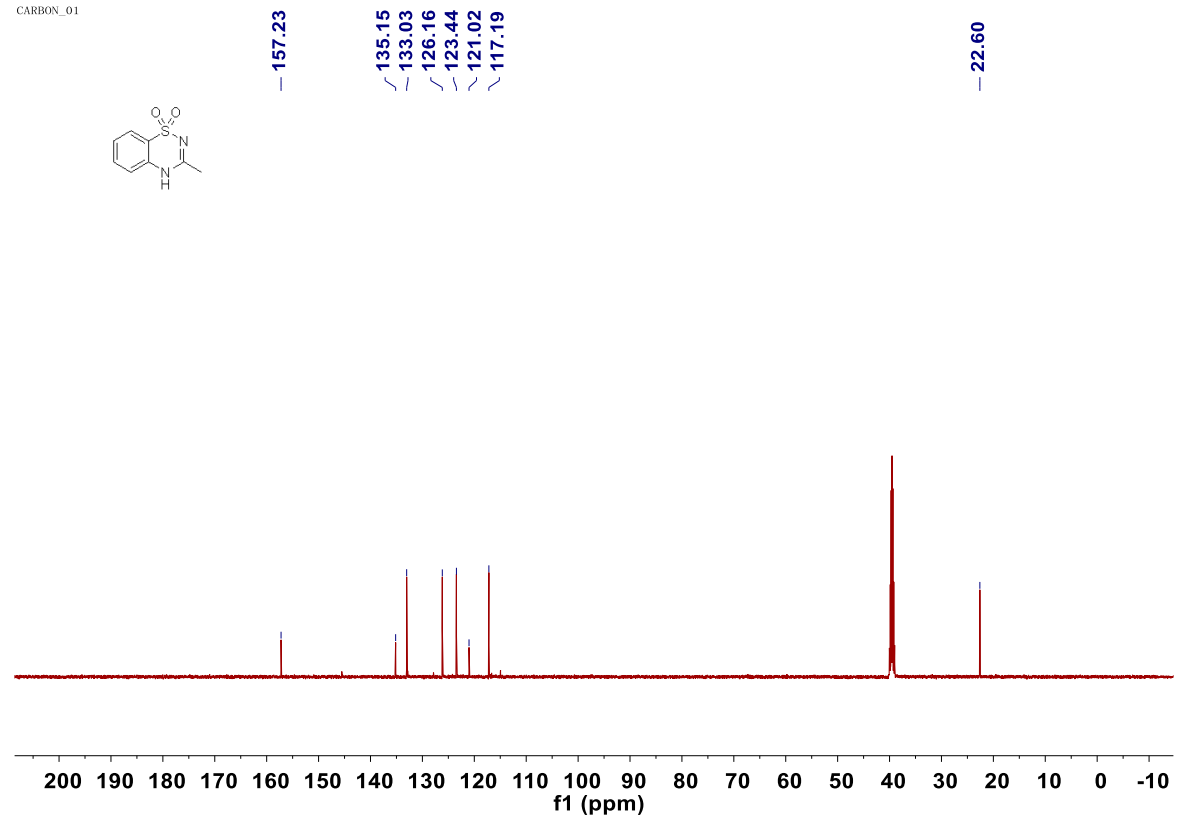
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2-methylthieno[2,3-d]pyrimidin-4(3H)-one (4q)



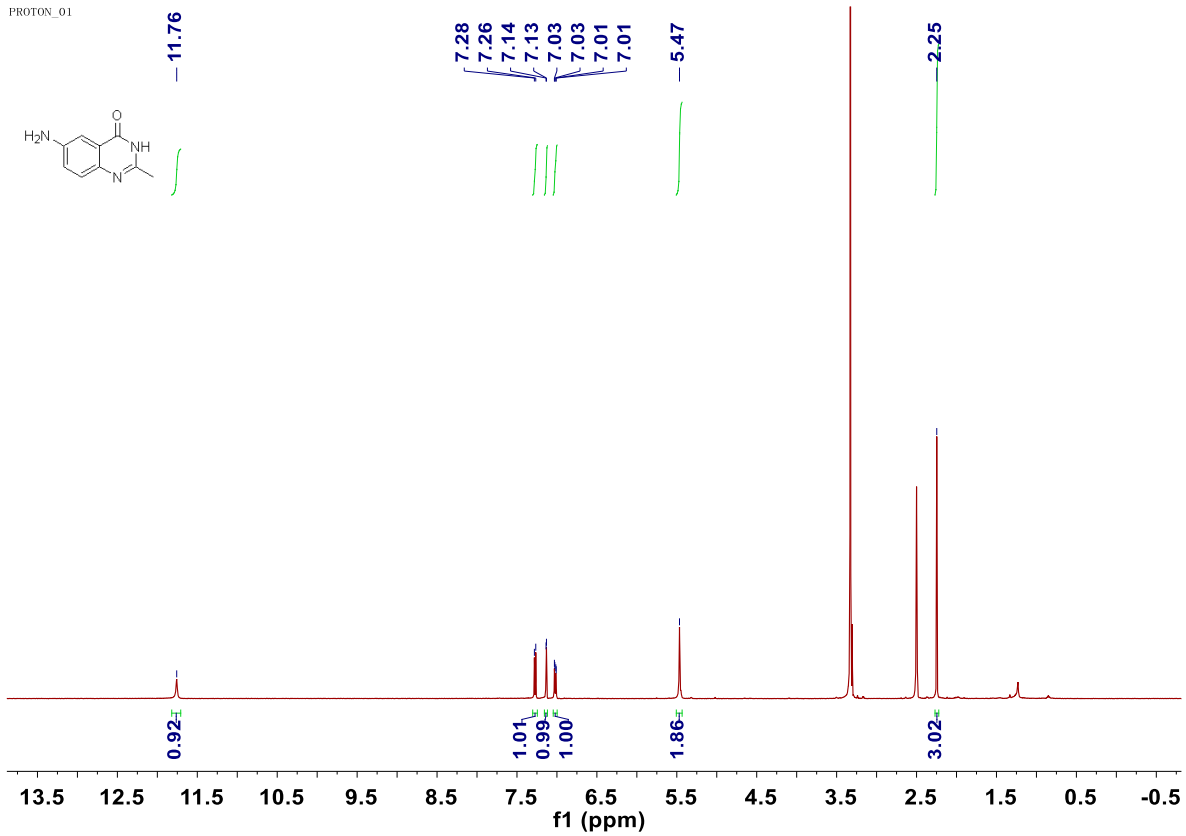
^{1}H NMR (500 MHz, DMSO-d_6) of 3-methyl-4H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (4r)



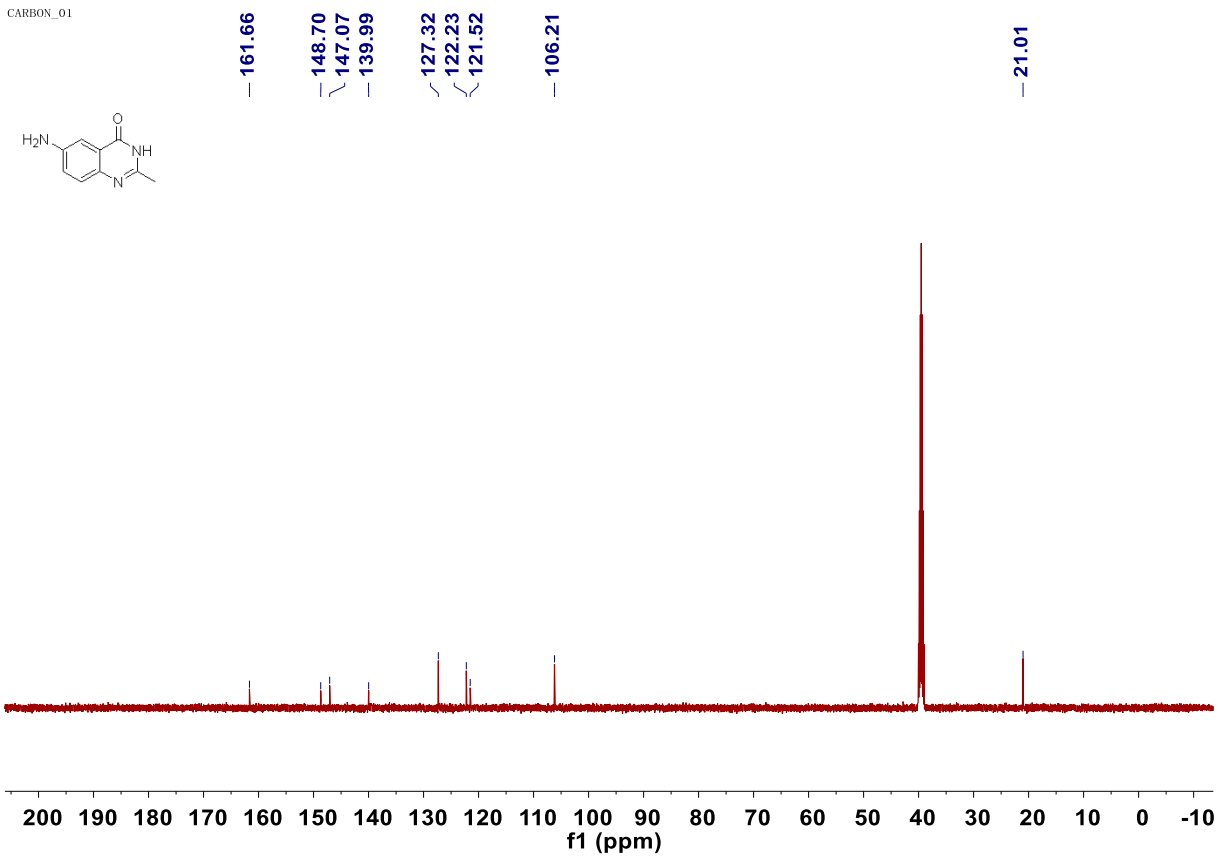
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 3-methyl-4H-benzo[e][1,2,4]thiadiazine 1,1-dioxide (4r)



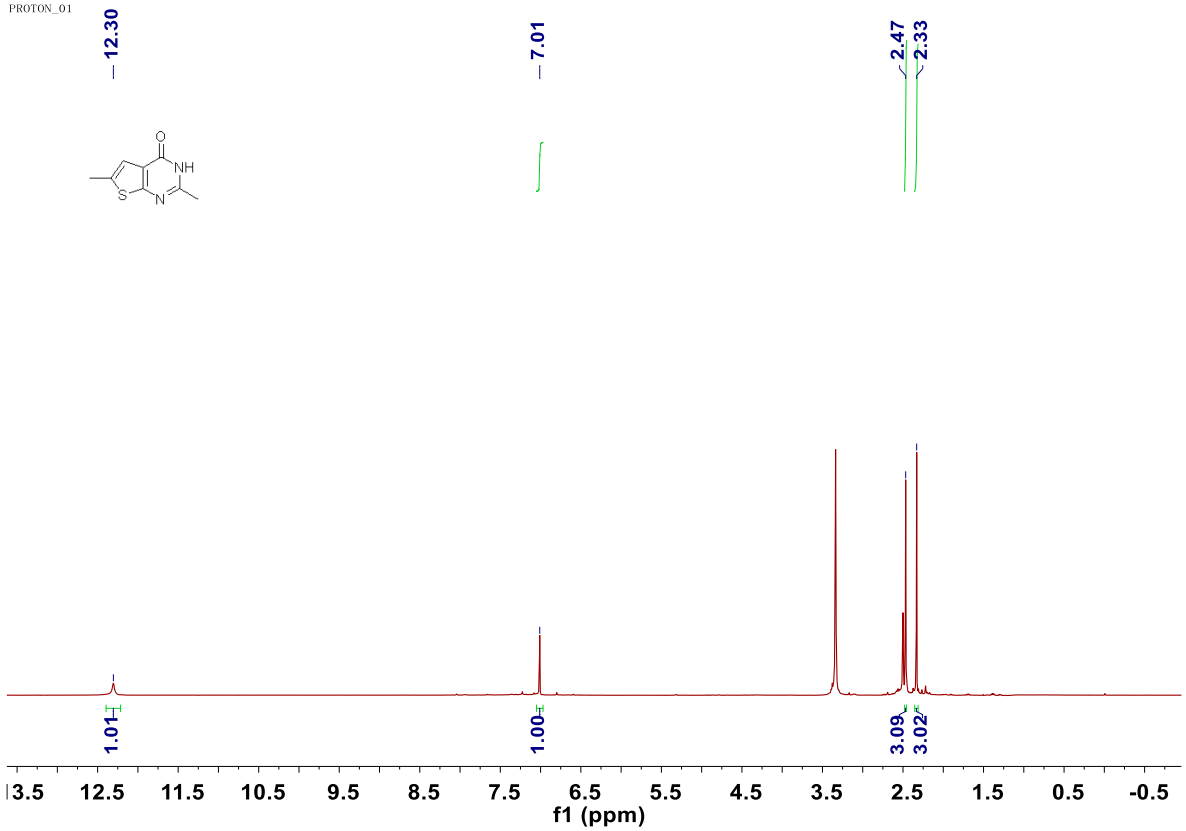
^{1}H NMR (500 MHz, DMSO-d_6) of 6-amino-2-methylquinazolin-4(3H)-one (4y')



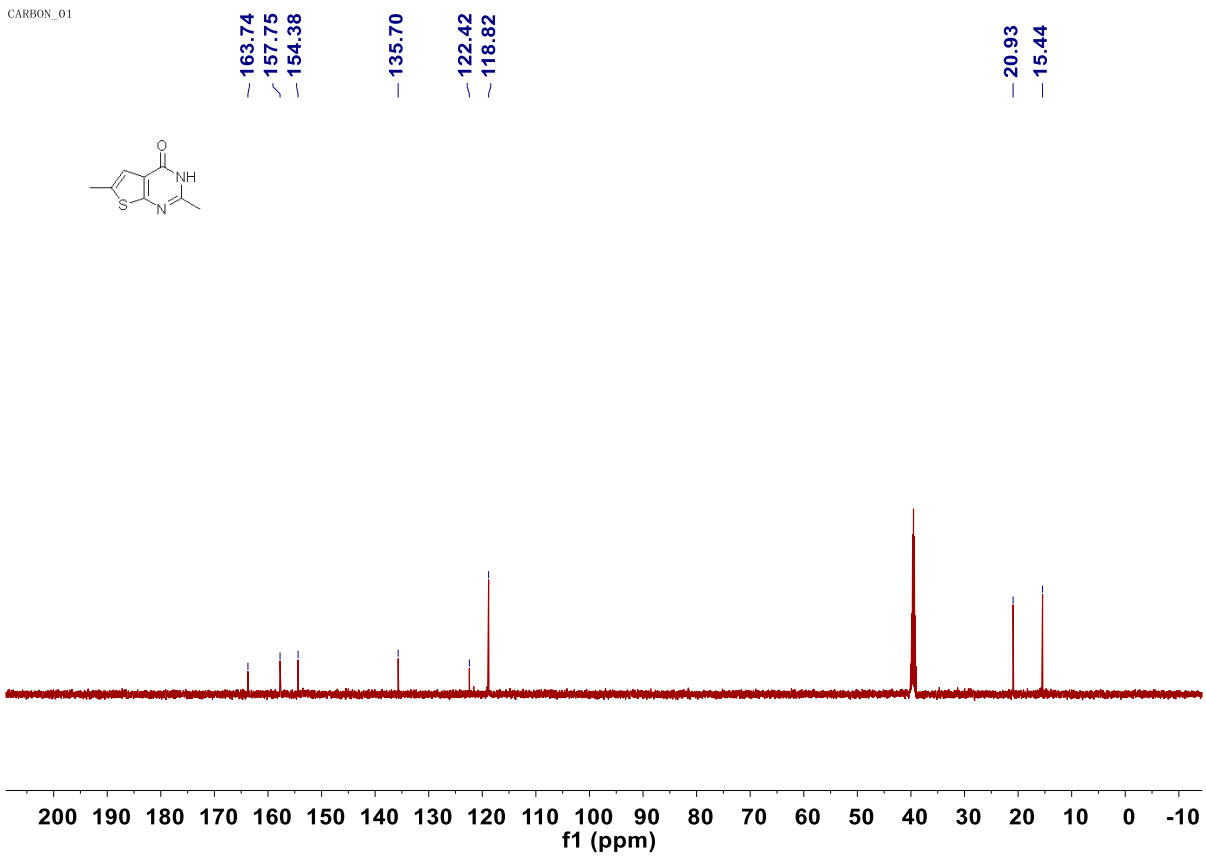
^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 6-amino-2-methylquinazolin-4(3H)-one (4y')



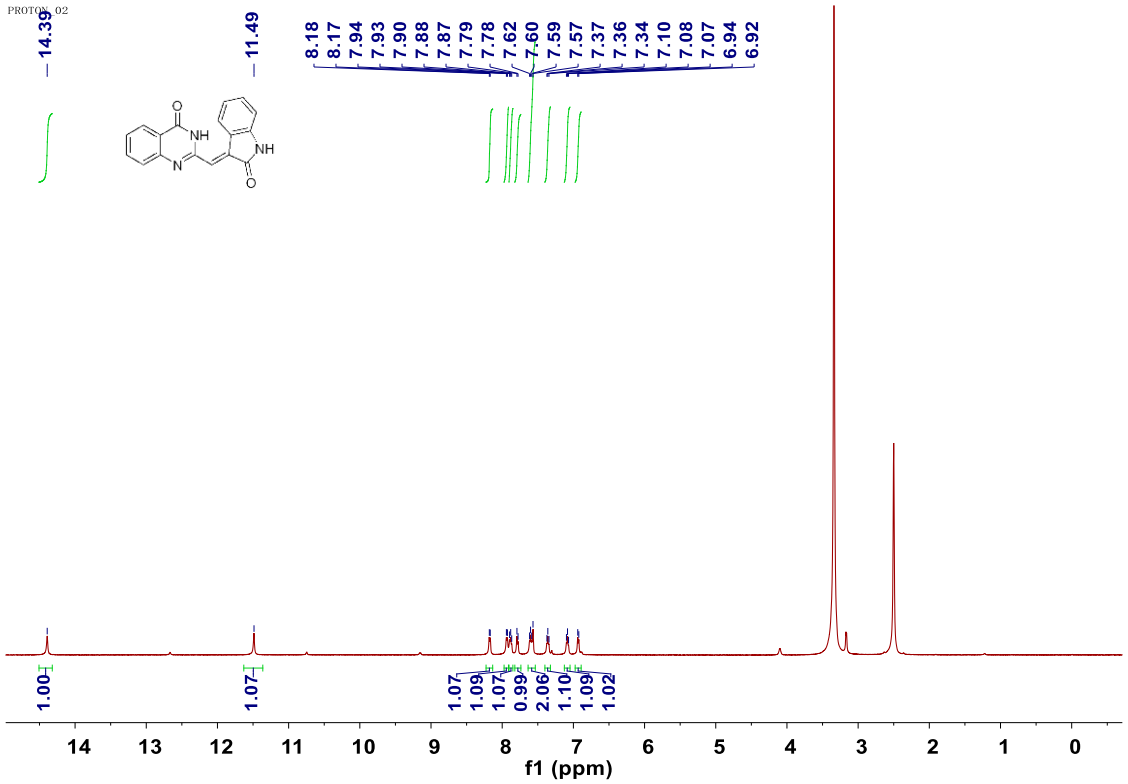
^{1}H NMR (500 MHz, DMSO-d_6) of 2,6-dimethylthieno[2,3-d]pyrimidin-4(3H)-one (4aj)



^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of 2,6-dimethylthieno[2,3-d]pyrimidin-4(3H)-one (4aj)



^{1}H NMR (500 MHz, DMSO-d_6) of (E)-2-((2-oxoindolin-3-ylidene)methyl)quinazolin-4(3H)-one (5aa)



^{13}C{^{1}H} NMR (126 MHz, DMSO-d_6) of (E)-2-((2-oxoindolin-3-ylidene)methyl)quinazolin-4(3H)-one (5aa)