One-pot Synthesis of Quinazoline Derivatives via [2+2+2] Cascade Annulation of Diaryliodonium Salts and Two Nitriles

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List of the contents:

1. General Comments.……..……..S3

2. Experimental Section..……..….S4

3. Condition Optimization..…..…….…….....S6

4. Spectra Data of Synthesized Quinazoline 3a..…….…….……...S8

5. Spectra Data of Synthesized Quinazoline 3b…….…….……...S10

6. Spectra Data of Synthesized Quinazoline 3c…….……S12

7. Spectra Data of Synthesized Quinazoline 3d.…….……...….S14

8. Spectra Data of Synthesized Quinazoline 3e…….……S16

9. Spectra Data of Synthesized Quinazoline 3f…….……S18

10. Spectra Data of Synthesized Quinazoline 3g……...…….……...S20

11. Spectra Data of Synthesized Quinazoline 3h…….…….…….....S22

12. Spectra Data of Synthesized Quinazoline 3i…….…….…..S24

13. Spectra Data of Synthesized Quinazoline 3j…….…….…..S26

14. Spectra Data of Synthesized Quinazoline 4a…….……..…...…..…S28

15. Spectra Data of Synthesized Quinazoline 4b…….…….…....S30

16. Spectra Data of Synthesized Quinazoline 4c…….…….…..…S32

17. Spectra Data of Synthesized Quinazoline 4d…….…….…….S34

18. Spectra Data of Synthesized Quinazoline 4e…….…….…….S36

19. Spectra Data of Synthesized Quinazoline 4f..…….……...S38

20. Spectra Data of Synthesized Quinazoline 4g……...…..……...S41

21. Spectra Data of Synthesized Quinazoline 4h..…….…....……S43

22. Spectra Data of Synthesized Quinazoline 4i..…….……..…S45

23. Spectra Data of Synthesized Quinazoline 4j…..…….…..…S47

24. Spectra Data of Synthesized Quinazoline 5a.……..……...…..……S49

25. Spectra Data of Synthesized Quinazoline 5b..……...…..…….S52

26. Spectra Data of Synthesized Quinazoline 5c….…….…..…S54

27. Spectra Data of Synthesized Quinazoline 5d..……....…..…S56

28. Spectra Data of Synthesized Quinazoline 5e..…….……S58

29. Spectra Data of Synthesized Quinazoline 5f..…….……..…S60

30. Spectra Data of Synthesized Quinazoline 5g..…….…....…S62

31. Spectra Data of Synthesized Quinazoline 5h..……....…..…S64

32. Spectra Data of Synthesized Quinazoline 6a.……...…S66

33. Spectra Data of Synthesized Quinazoline 6b.……...…S68

34. Spectra Data of Synthesized Quinazoline 6c.……...…..….S70

35. Spectra Data of Synthesized Quinazoline 6d.……...…S72

35. Mechanistic experiments……...……S74

36. References……...…….S76

1. General Comments

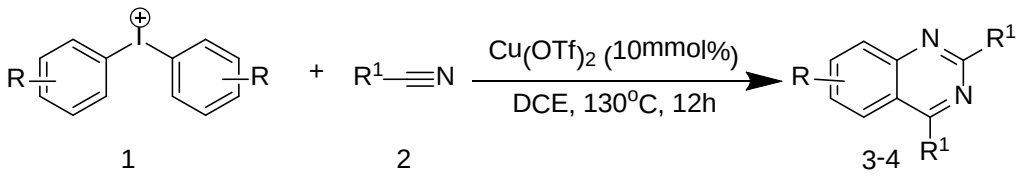
All the reactions were carried out in pre-dried a screwcapped tube with a Teflon-lined septum under N_2 atmosphere. Ph_2IPF_6 was purchased from Alfa-aesar. Diaryliodonium reagents except Ph_2IPF_6 were prepared according to the literatues[1]. All of the solvents were fresh distilled. Column chromatography was performed on silica gel (particle size 10-40 μm, Ocean Chemical Factory of Qingdao, China). ^1H NMR and ^{13}C NMR spectra were recorded on a JEOL AL-300MHz or AL-400MHz spectrometer at ambient temperature with $CDCl_3$ as the solvent. Chemical shifts (δ) were given in ppm, referenced to the residual proton resonance of $CDCl_3$ (7.26), to the carbon resonance of $CDCl_3$ (77.16). Coupling constants (*J*) were given in Hertz (Hz). The term m, dq, q, t, d, s referred to multiplet, doublet quartet, quartet, triplet, doublet, singlet. Mass spectra were obtained using Bruker Esquire ion trap mass spectrometer in positive mode. The reaction progress was monitored by GC-MS if applicable, using n-Dodecane as internal standard.

2 Experimental Section

Starting diaryliodonium salts

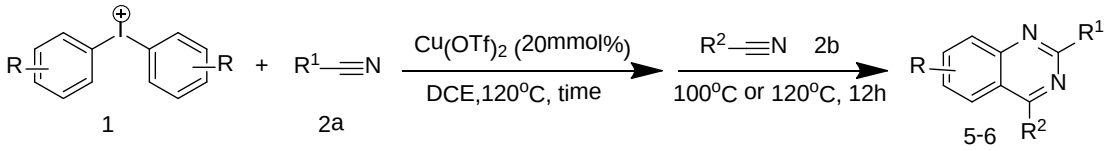
Diaryliodonium salts were synthesized according to the literature procedures except Ph_2IPF_6 (commercially available).[1]

General procedure for the preparation of desired compound 3-4



A sealed tube was charged with the mixture of diaryliodonium salt **1** (1.0 mmol) and $Cu(OTf)_2$ (0.1 mmol, 36.1 mg). The tube was evacuated and recharged with N_2 for 3 times. Appropriate nitrile **2** (3.0 mmol) and dichloroethane (5.0 mL) were added, then the tube was sealed and the mixture was allowed to stir at 130 °C for 12h. After completion, the mixture was cooled to room temperature, K_2CO_3 solid (2 mmol, 276 mg) was added and the mixture was extracted with DCM, dried by anhydrous Na_2SO_4. The solvent was evaporated and the residue was purified by chromatography on silica gel (petroleum ether/diethyl ether/triethylamine: 50/5/1 to 1000/2/1) to afford the corresponding product as a white or yellow solid or yellow oil.

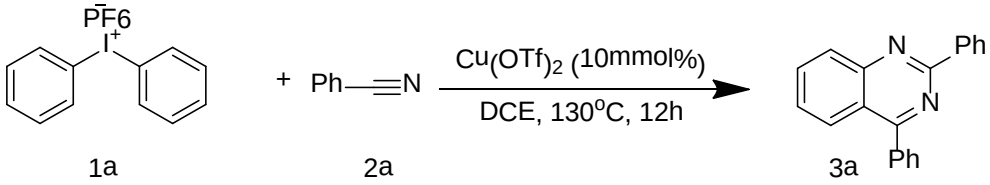
General procedure for the preparation of desired compound 5-6



A sealed tube was charged with the mixture of diaryliodonium salt **1** (1.1 mmol) and $Cu(OTf)_2$ (0.2 mmol, 72.2 mg). The tube was evacuated and recharged with N_2 for 3 times. Appropriate nitrile **2a** (1.0 mmol) and dichloroethane (5.0 mL) were added, the tube was sealed and the mixture was allowed to stir at 120 °C for the indicated period of time (0.5 h-2 h). Then the mixture was cooled to room temperature, evacuated and recharged with N_2 for 3 times , appropriate nitrile **2b** (1.0 or 2.0 mmol) was added and further stirred for 12 h at 100 °C or 120 °C. After completion, the mixture was cooled to room temperature, then K_2CO_3 solid (2 mmol, 276 mg) was added and the mixture

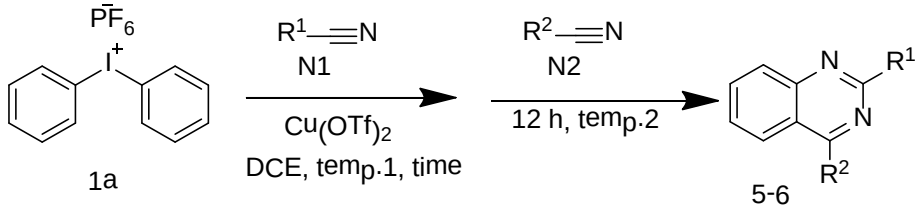
was extracted with DCM, dried by anhydrous Na_2SO_4. Evaporation of the solvent followed by purification on silica gel (petroleum ether/diethyl ether/triethylamine: 50/5/1 to 1000/5/1) provided the corresponding product as white or yellow solid.

3 Condition Optimization



1a 2a 3a

entry	**1a : 2a**	Solvent	$Cu(OTf)_2$ (eq.)	Temp. (^oC)	Yield[a]
1	1:2	DCE	0.1	130	64%
2	1:2.4	DCE	0.1	130	73%
3	1:3	DCE	0.1	130	84%
4	1:4	DCE	0.1	130	75%

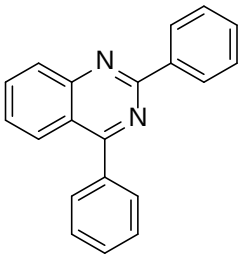


1a 5-6

entry	**1 : N1 : N2**	R^1	$Cu(OTf)_2$ (eq.)	Temp.1 (^oC)	Time (h)	R^2	Temp.2 (^oC)	Yield[a]
5	1:1:1.5	Ph	0.1	130	0.5	Bu	130	34%
6	1:1:1.5	Ph	0.2	120	0.5	Bu	130	49%
7	1.1:1:2	Ph	0.2	120	0.75	Bu	120	61%
8	1.1:1:2	2-thienyl	0.2	120	0.75	Bu	120	63%
9	1.1:1:2	4-CF_3-Ph	0.2	120	0.75	Bu	120	48%
10	1.1:1:2	4-CF_3-Ph	0.2	120	1.5	Bu	120	56%
11	1.1:1:1	4-OMe-Ph	0.2	120	0.5	Bu	120	69%
12	1.1:1:2	1-Naphthyl	0.2	120	0.75	Bu	120	trace
13	1.1:1:2	1-Naphthyl	0.2	120	2	Bu	120	60%
14	1.1:1:1	4-OMe-Ph	0.2	120	0.5	Ph	120	isomer
15	1.1:1:1	4-OMe-Ph	0.2	120	0.5	Ph	80	NP

16	1.1:1:1	4-OMe-Ph	0.2	120	0.5	Ph	100	isomer
17	1.1:1:1	4-OMe-Ph	0.2	120	0.5	4-CF_3-Ph	100	72%
18	1.1:1:2	4-OMe-Ph	0.2	120	0.5	Bn	120	50%
19	1.1:1:2	4-OMe-Ph	0.2	120	0.5	Cl-CH_2	120	57%
20	1.1:1:2	4-OMe-Ph	0.2	120	0.5	Br-CH_2	120	55%
21	1.1:1:2	4-OMe-Ph	0.2	120	0.5	Br	120	65%
22	1.1:1:2	4-OMe-Ph	0.2	120	0.5	Et_2-O_2C	120	60%
23	1.1:1:2	4-OMe-Ph	0.2	120	0.5	$(EtO)_2PO$	120	67%

[a] Isolated yield.

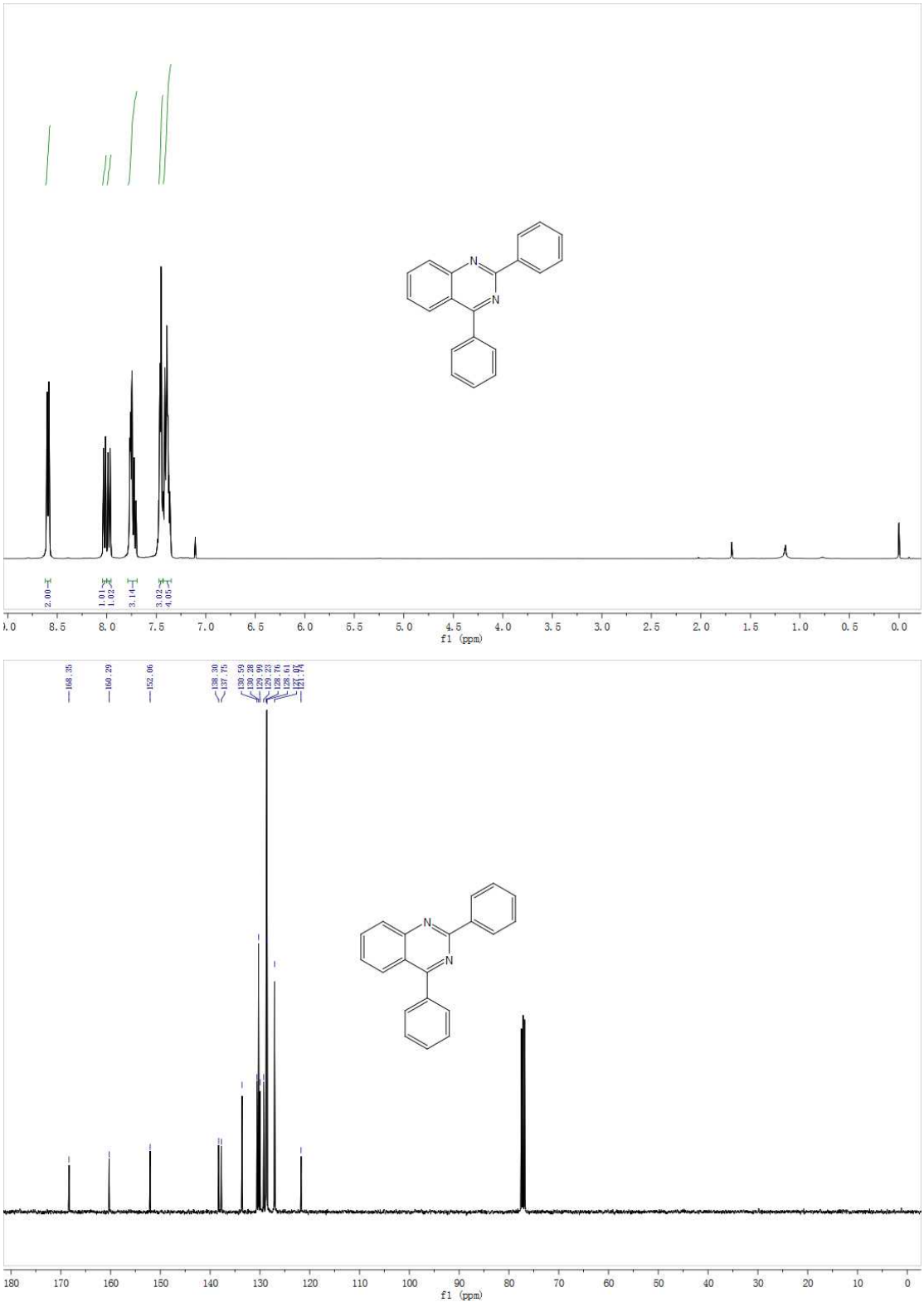


2,4-diphenylquinazoline (3a)[2]: white solid, 237 mg, yield: 84%.

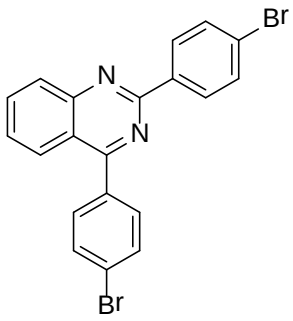
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.62 - 8.57 (m, 2H), 8.02 (d, *J* = 8.5 Hz, 1H), 7.98 (d, *J* = 8.4 Hz, 1H), 7.79 - 7.69 (m, 3H), 7.47 - 7.43 (m, 3H), 7.43 - 7.35 (m, 4H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 168.35, 160.29, 152.06, 138.30, 137.75, 133.60, 130.59, 130.28 (CH×2), 129.99, 129.23, 128.76 (CH×2), 128.61 (CH×4), 127.07 (CH×2), 121.74.

HRMS(ESI): m/z calcd for $C_{20}H_{14}N_2$ $[M+H]^+$: 283.1230; found: 283.1233.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

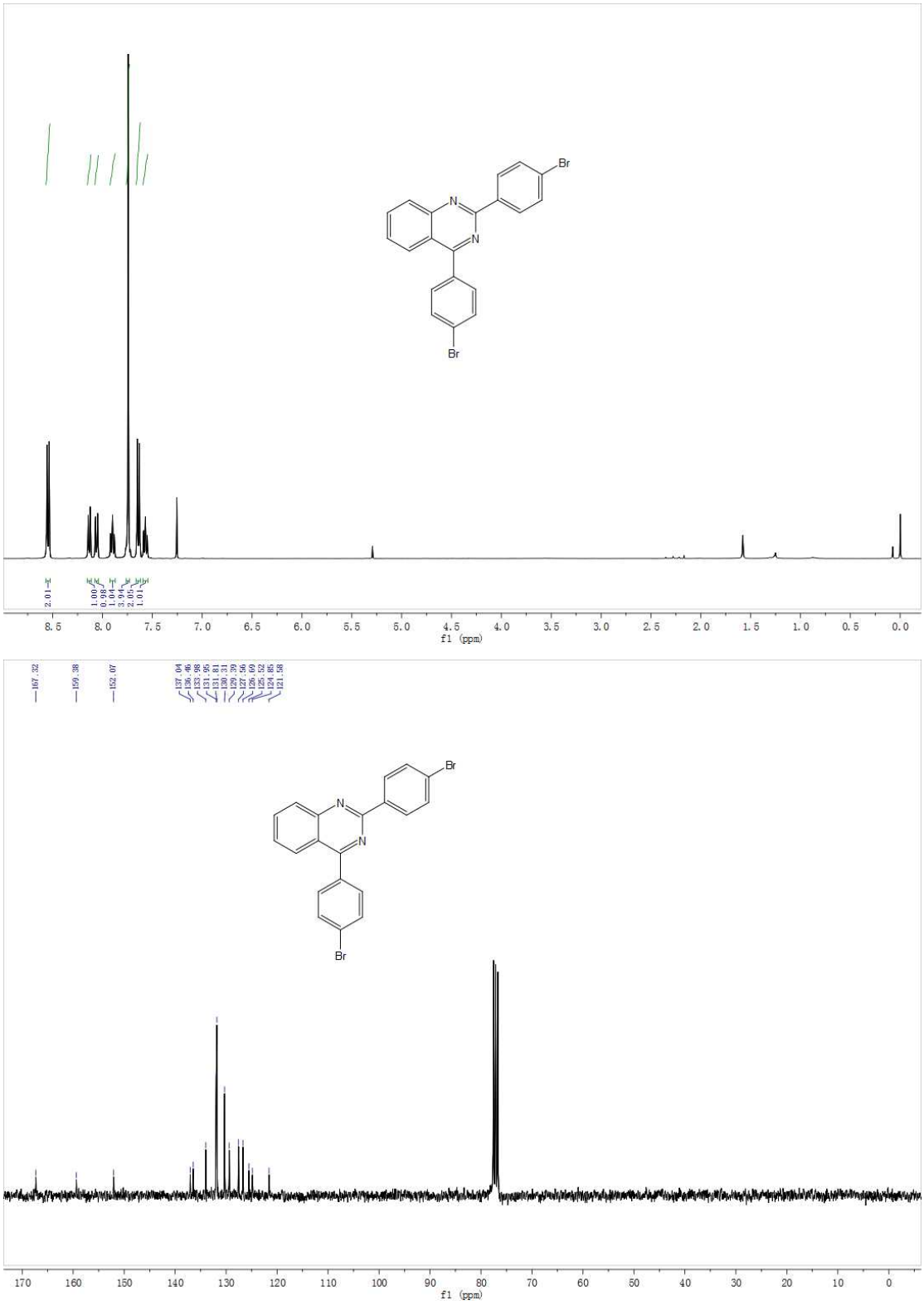


2, 4-bis(4-bromophenyl)quinazoline (3b): white solid, 258 mg, yield: 59%.

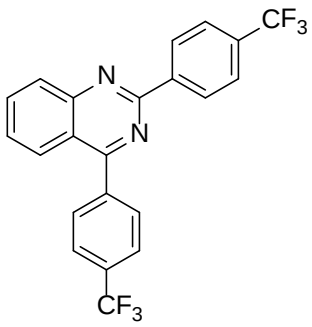
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.54 (d, *J* = 8.9Hz, 2H), 8.13 (d, *J* = 8.4 Hz, 1H), 8.06 (d, *J* = 8.2 Hz, 1H), 7.90 (t, *J* = 7.4 Hz, 1H), 7.74 (s, 4H), 7.64 (d, *J* = 8.9 Hz, 2H), 7.57 (t, *J* = 7.8 Hz, 1H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 167.32, 159.38, 152.07, 137.04, 136.46, 133.98, 131.95 (CH×2), 131.81 (CH×4), 130.31 (CH×2), 129.39, 127.56, 126.69, 125.52, 124.85, 121.58.

HRMS(ESI): m/z calcd for $C_{20}H_{12}Br_2N_2$ $[M+H]^+$: 440.9421; found: 440.9420.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

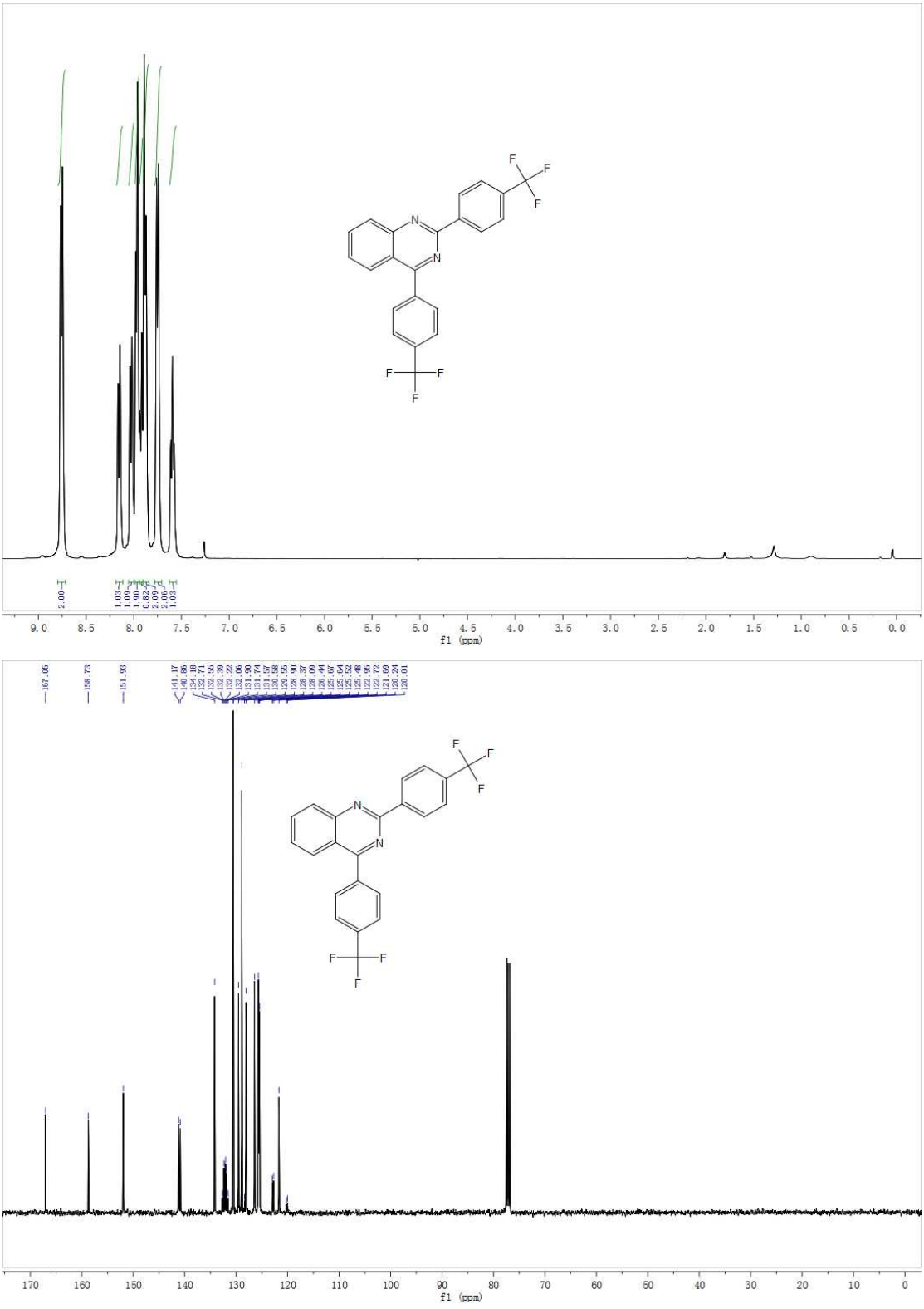


2,4-bis(4-(trifluoromethyl)phenyl)quinazoline (3c): white solid, 276 mg, yield: 66%.

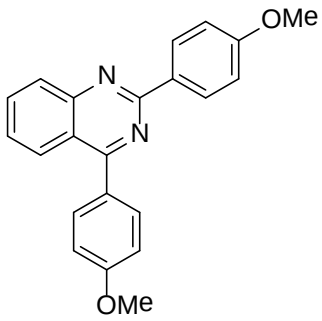
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.76 (d, *J* = 7.0 Hz, 2H), 8.15 (d, *J* = 8.2 Hz, 1H), 8.03 (d, *J* = 7.6 Hz, 1H), 7.97 (d, *J* = 6.7 Hz, 2H), 7.95 - 7.90 (m, 1H), 7.88 (d, *J* = 7.6 Hz, 2H), 7.75 (d, *J* = 6.8 Hz, 2H), 7.64 - 7.56 (m, 1H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 167.05, 158.73, 151.93, 141.17, 140.86, 134.18, 132.22 (q, *J* = 32.2 Hz), 132.06 (q, *J* = 32.7 Hz), 130.58 (CH×2), 129.55, 128.90 (CH×2), 128.09, 126.44, 125.66 (q, *J* = 3.4 Hz, CH×2), 125.50 (q, *J* = 3.5 Hz, CH×2), 124.31(q, *J* = 272.5 Hz), 124.07 (q, *J* = 272.5 Hz), 121.69.

HRMS(ESI): m/z calcd for $C_{22}H_{12}F_6N_2$ $[M+H]^+$: 419.0977; found: 419.0976..



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

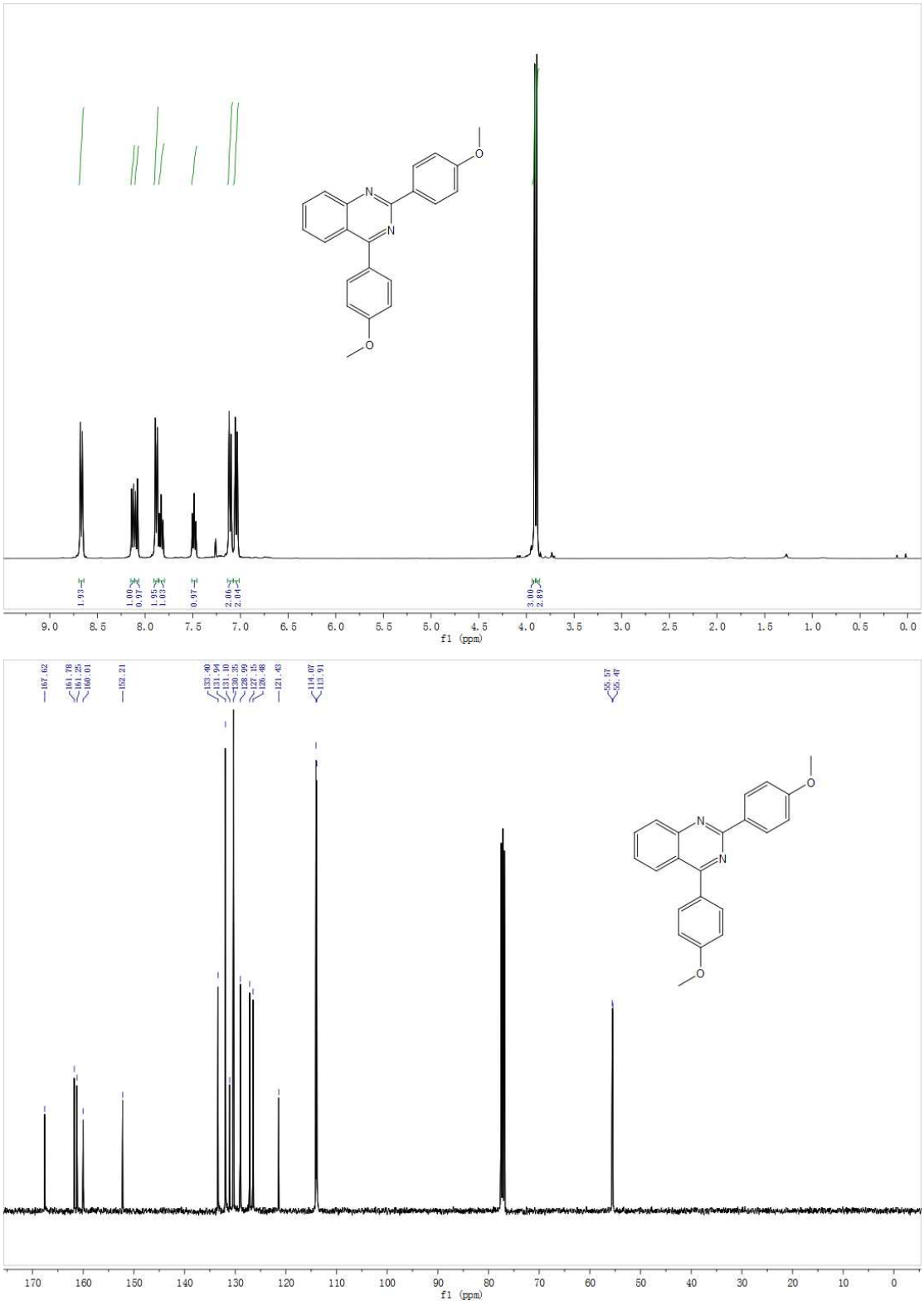


2, 4-bis(4-methoxyphenyl)quinazoline (3d): white solid, 308 mg, yield: 90%.

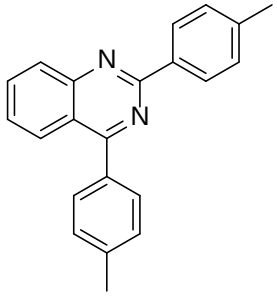
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.70 - 8.64 (m, 2H), 8.13 (d, *J* = 8.3 Hz, 1H), 8.09 (d, *J* = 8.6 Hz, 1H), 7.88 (d, *J* = 8.5 Hz, 2H), 7.83 (m, 1H), 7.49 (m, 1H), 7.14 - 7.08 (m, 2H), 7.07 - 7.01 (m, 2H), 3.91 (s, 3H), 3.89 (s, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 167.62, 161.78, 161.25, 160.01, 152.21, 133.40, 131.94, 131.10, 130.35(CH×2, C×1), 128.99, 127.15, 126.48, 121.43, 114.07(CH×2), 113.91(CH×2), 55.57, 55.47.

HRMS(ESI): m/z calcd for $C_{22}H_{18}N_2O_2[M+H]^+$: 343.1441; found: 343.1440.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

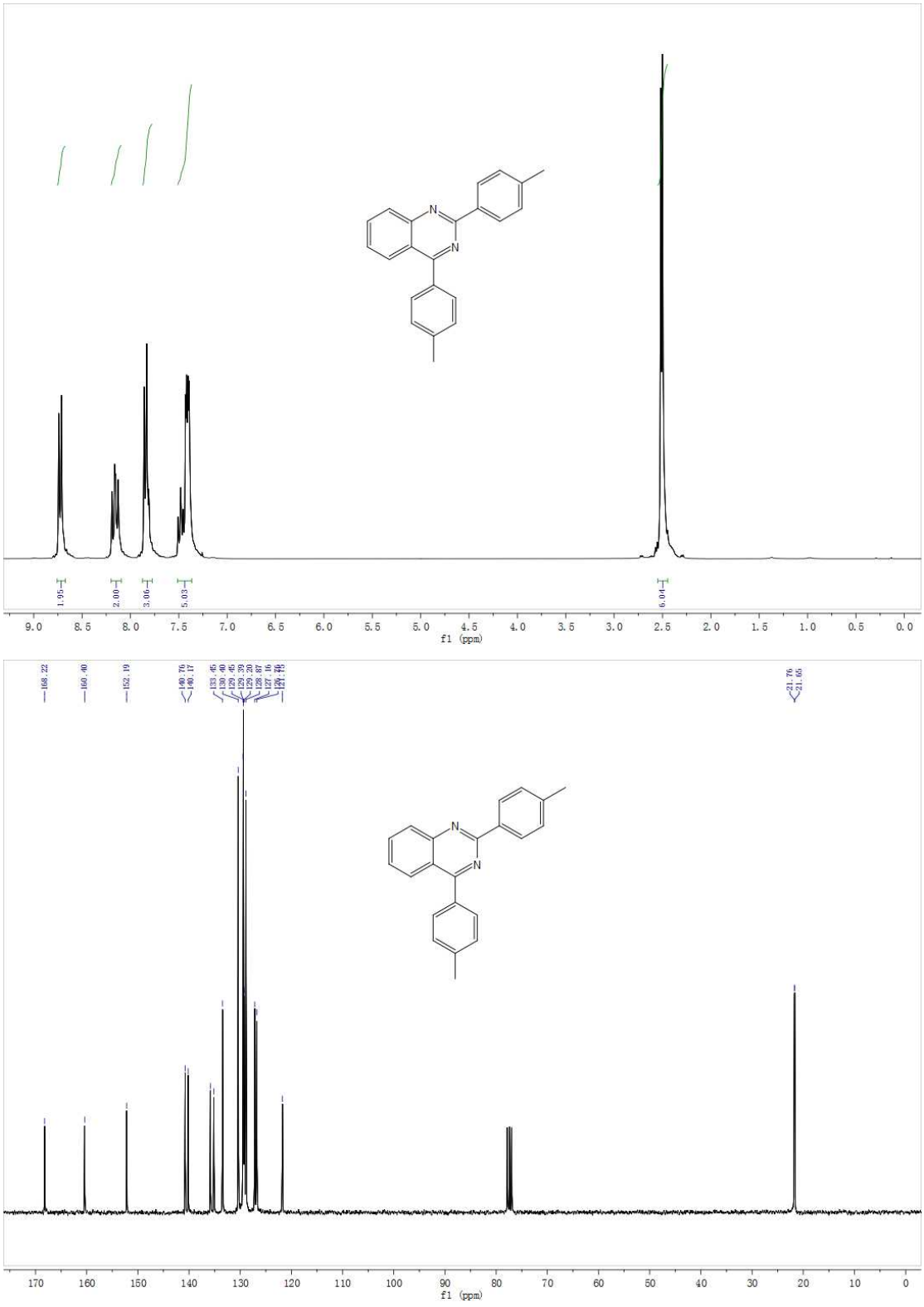


2,4-di*p*-tolylquinazoline (3e): white solid, 273 mg, yield: 88%.

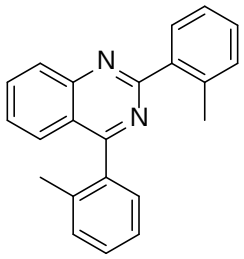
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.73 (d, *J* = 8.1 Hz, 2H), 8.16 (dd, *J* = 11.0, 8.5 Hz, 2H), 7.82 (dd, *J* = 13.8, 7.9 Hz, 3H), 7.51 - 7.37 (m, 5H), 2.52 (s, 3H), 2.50 (s, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 168.22, 160.40, 152.19, 140.76, 140.17, 135.83, 135.14, 133.45, 130.40 (CH×2), 129.45 (CH×2), 129.39 (CH×2), 129.20, 128.87 (CH×2), 127.16, 126.76, 121.75, 21.76, 21.65.

HRMS(ESI): m/z calcd for $C_{22}H_{18}N_2$ $[M+H]^+$: 311.1543; found: 311.1544.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

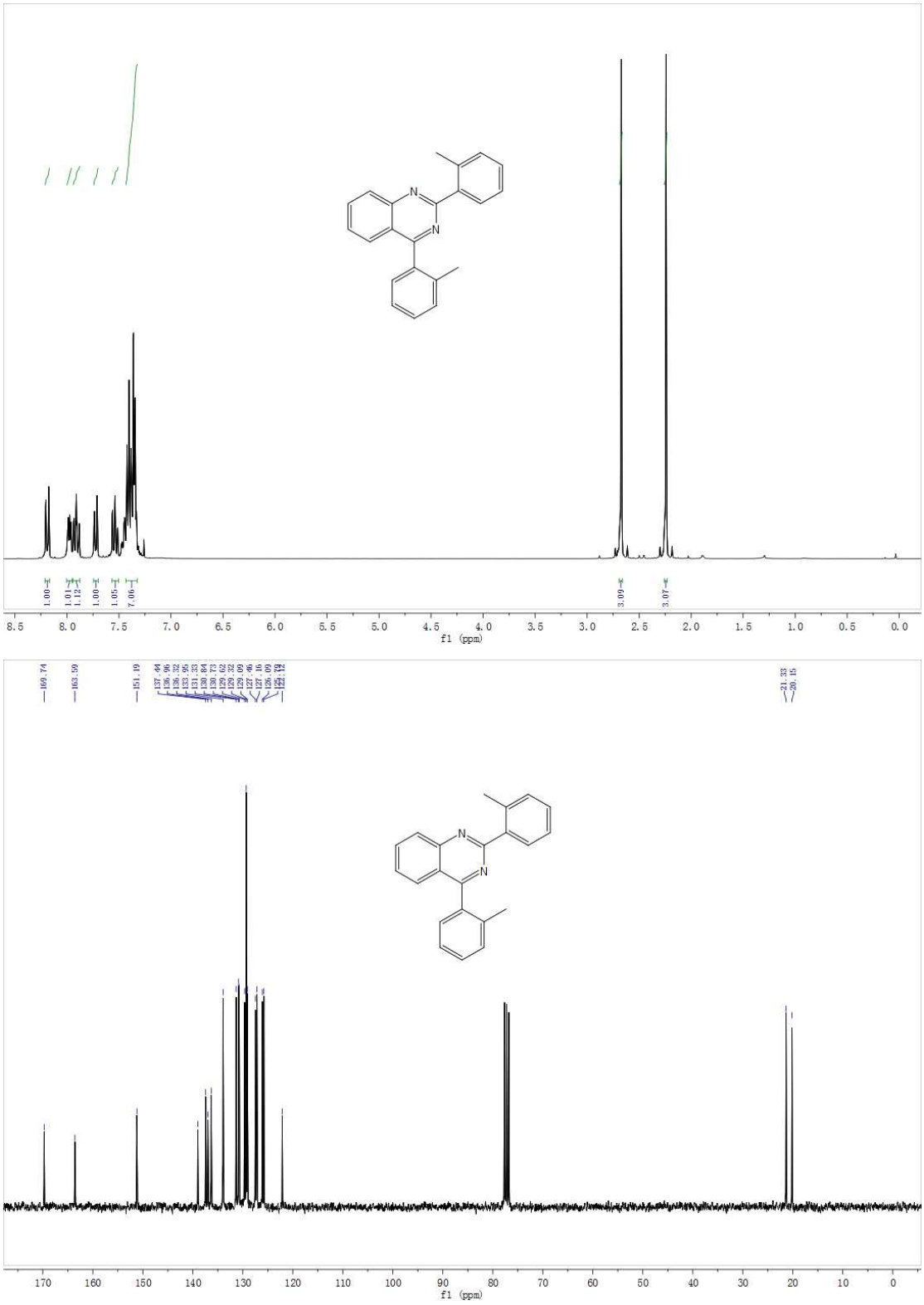


2,4-di*o*-tolylquinzaline (3f): white solid, 254 mg, yield: 82%.

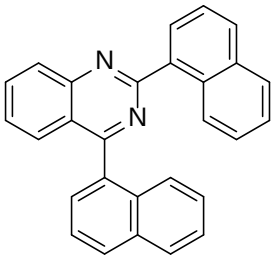
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.19 (d, *J* = 8.3 Hz, 1H), 8.00 - 7.95 (m, 1H), 7.91 (ddd, *J* = 8.4, 6.9, 1.4 Hz, 1H), 7.73 (dd, *J* = 4.4, 3.9 Hz, 1H), 7.54 (ddd, *J* = 8.1, 6.9, 1.1 Hz, 1H), 7.43 - 7.32 (m, 7H), 2.67 (s, 3H), 2.24 (s, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 169.74, 163.59, 151.19, 139.00, 137.44, 136.96, 136.32, 133.95, 131.33, 130.84, 130.73, 129.62, 129.32 (CH×2), 129.09, 127.46, 127.16, 126.09, 125.79, 122.12, 21.33, 20.15.

HRMS(ESI): m/z calcd for $C_{22}H_{18}N_2$ $[M+H]^+$: 311.1543; found: 311.1543.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

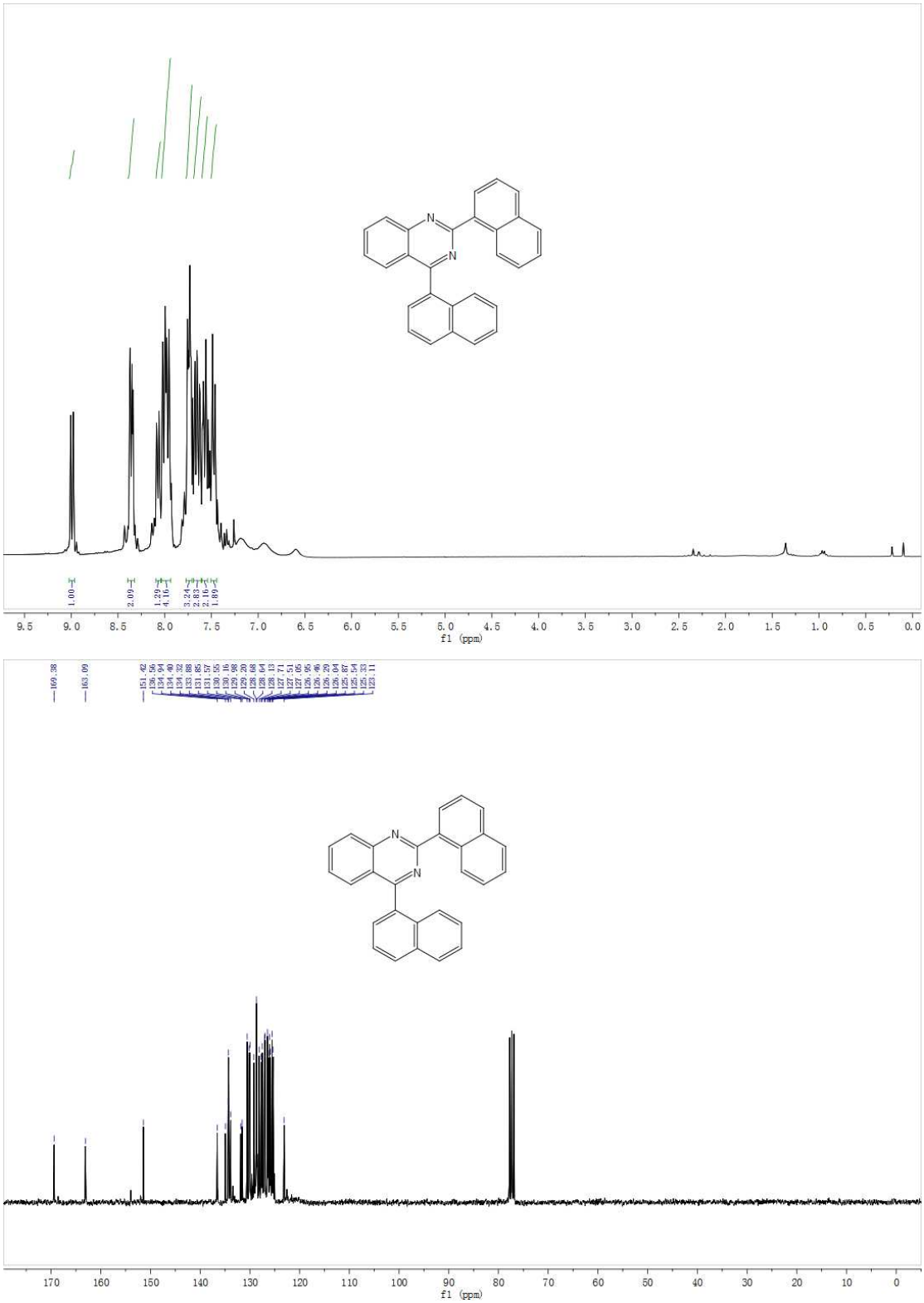


2,4-di(naphthalene-1-yl)quinazoline (3g): yellow solid, 271 mg, yield: 71%.

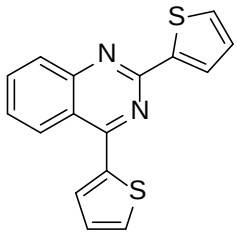
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.99 (d, *J* = 8.4 Hz, 1H), 8.39 - 8.32 (m, 2H), 8.07 (d, *J* = 7.8 Hz, 1H), 7.99 (dd, *J* = 12.7, 7.7 Hz, 4H), 7.77 - 7.71 (m, 3H), 7.69 - 7.61 (m, 3H), 7.60 - 7.54 (m, 2H), 7.50 - 7.44 (m, 2H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 169.38, 163.09, 151.42, 136.56, 134.94, 134.40, 134.32, 133.88, 131.85, 131.57, 130.55, 130.16, 129.98, 129.20, 128.68, 128.64, 128.13, 127.71, 127.51, 127.05, 126.95, 126.46, 126.29, 126.04, 125.87, 125.54, 125.33, 123.11.

HRMS(ESI): m/z calcd for $C_{28}H_{18}N_2$ $[M+H]^+$: 383.1543; found: 383.1544.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

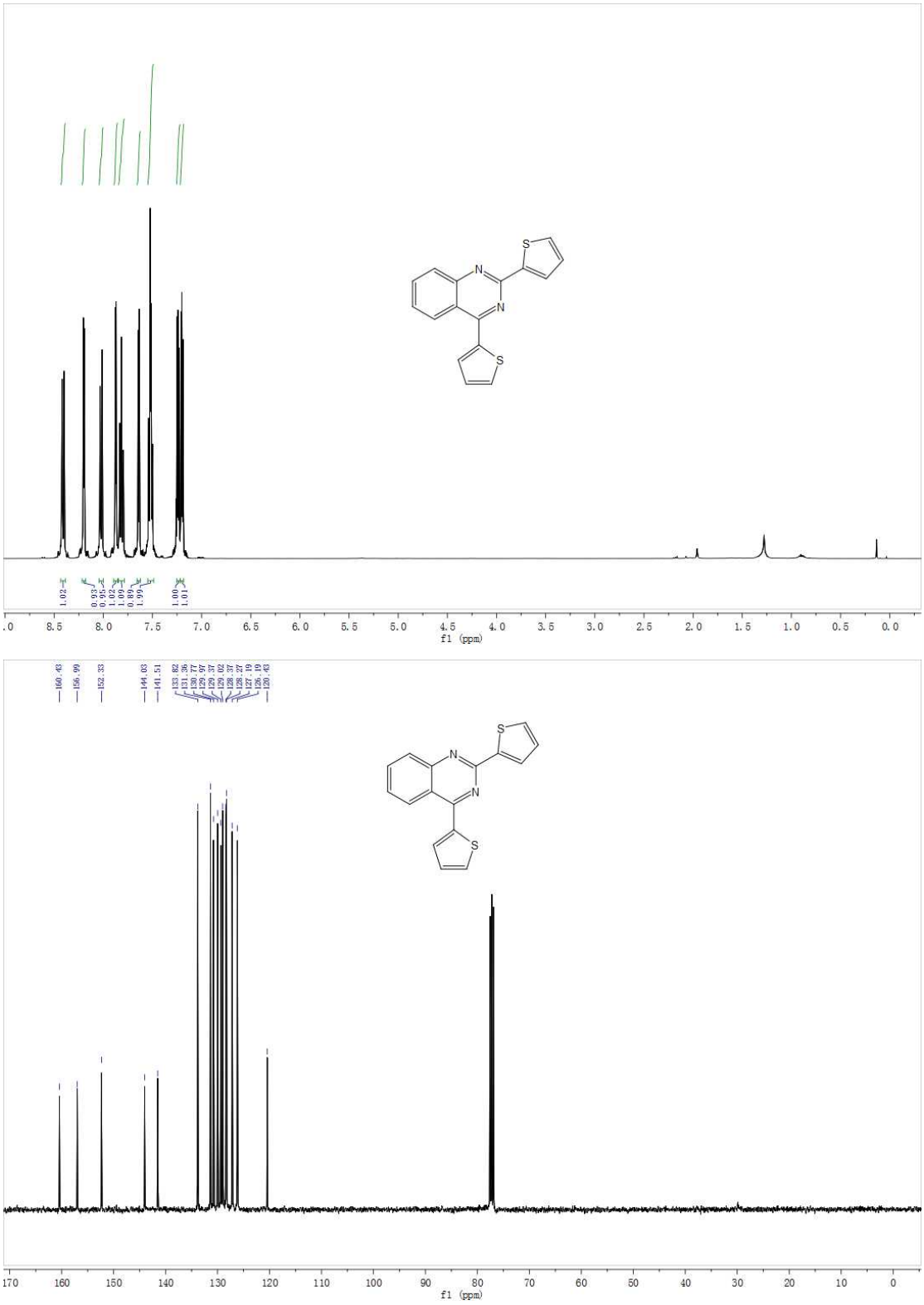


2,4-di(thiophen-2-yl)quinazoline (3h): yellow solid, 235 mg, yield: 80%.

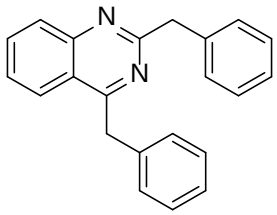
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.41 (dd, *J* = 11.0, 3.1 Hz, 1H), 8.20 (dd, *J* = 3.7, 1.2 Hz, 1H), 8.02 (d, *J* = 8.5 Hz, 1H), 7.89 - 7.85 (m, 1H), 7.85 - 7.78 (m, 1H), 7.64 (dd, *J* = 5.0, 0.8 Hz, 1H), 7.55 - 7.49 (m, 2H), 7.24 (dd, *J* = 5.0, 3.7 Hz, 1H), 7.20 (dd, *J* = 5.0, 3.7 Hz, 1H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 160.43, 156.99, 152.33, 144.03, 141.51, 133.82, 131.36, 130.77, 129.97, 129.37, 129.02, 128.37, 128.27, 127.19, 126.19, 120.43.

HRMS(ESI): m/z calcd for $C_{16}H_{10}N_2S_2$ $[M+H]^+$: 295.0358; found: 295.0357.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

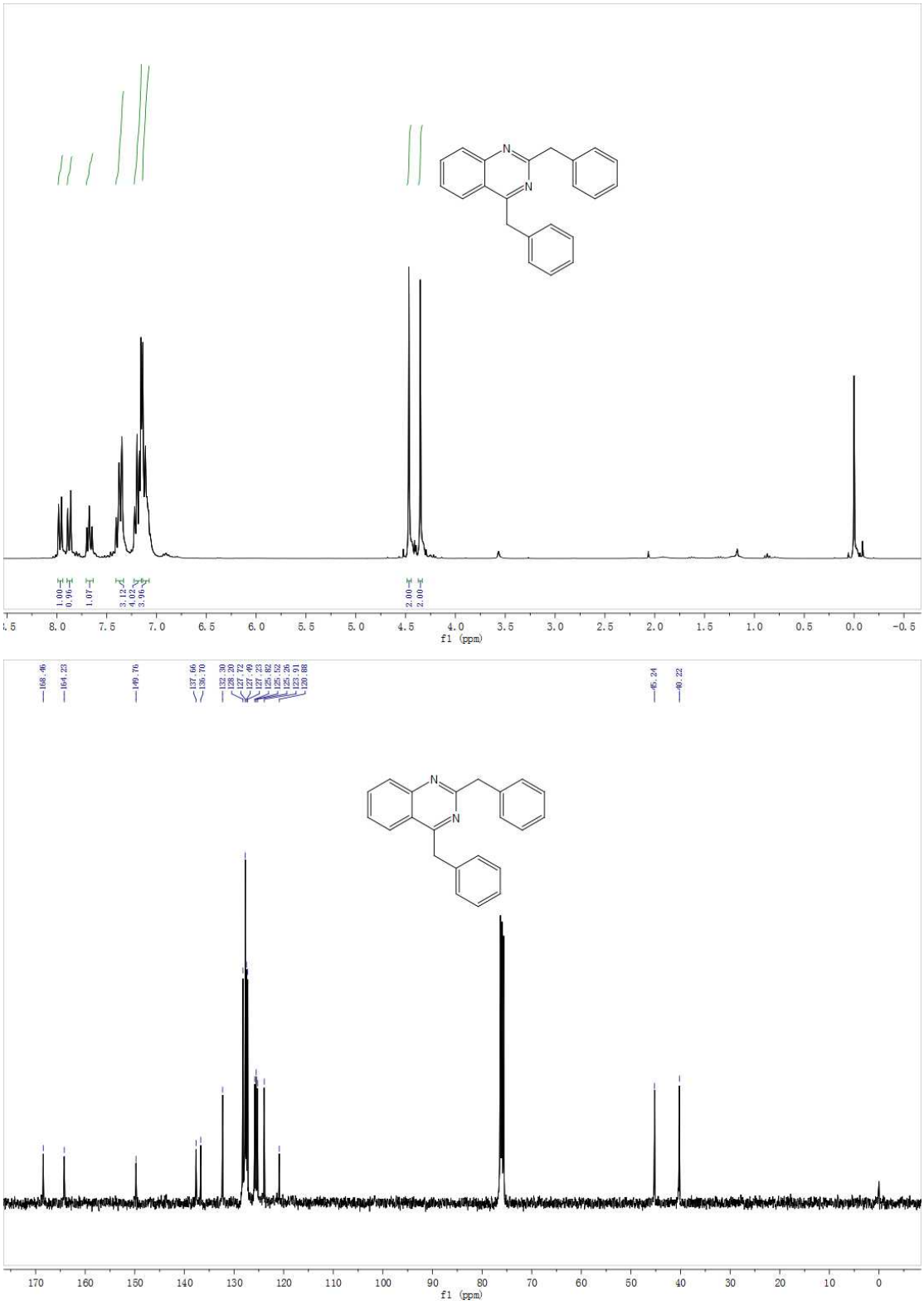


2,4-dibenzylquinazoline (3i): yellow oil, 161 mg, yield: 52%.

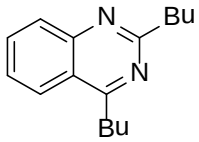
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 7.97 (d, *J* = 8.4 Hz, 1H), 7.88 (d, *J* = 8.5 Hz, 1H), 7.71 - 7.64 (m, 1H), 7.41 - 7.33 (m, 3H), 7.23 - 7.15 (m, 4H), 7.14 - 7.07 (m, 4H), 4.47 (s, 2H), 4.35 (s, 2H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 168.46, 164.23, 149.76, 137.66, 136.70, 132.30, 128.20(CH×2), 127.72(CH×3), 127.49(CH×2), 127.23(CH×2), 125.82, 125.52, 125.26, 123.91, 120.88, 45.24, 40.22.

HRMS(ESI): m/z calcd for $C_{22}H_{18}N_2$ $[M+H]^+$: 311.1543; found: 311.1544.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

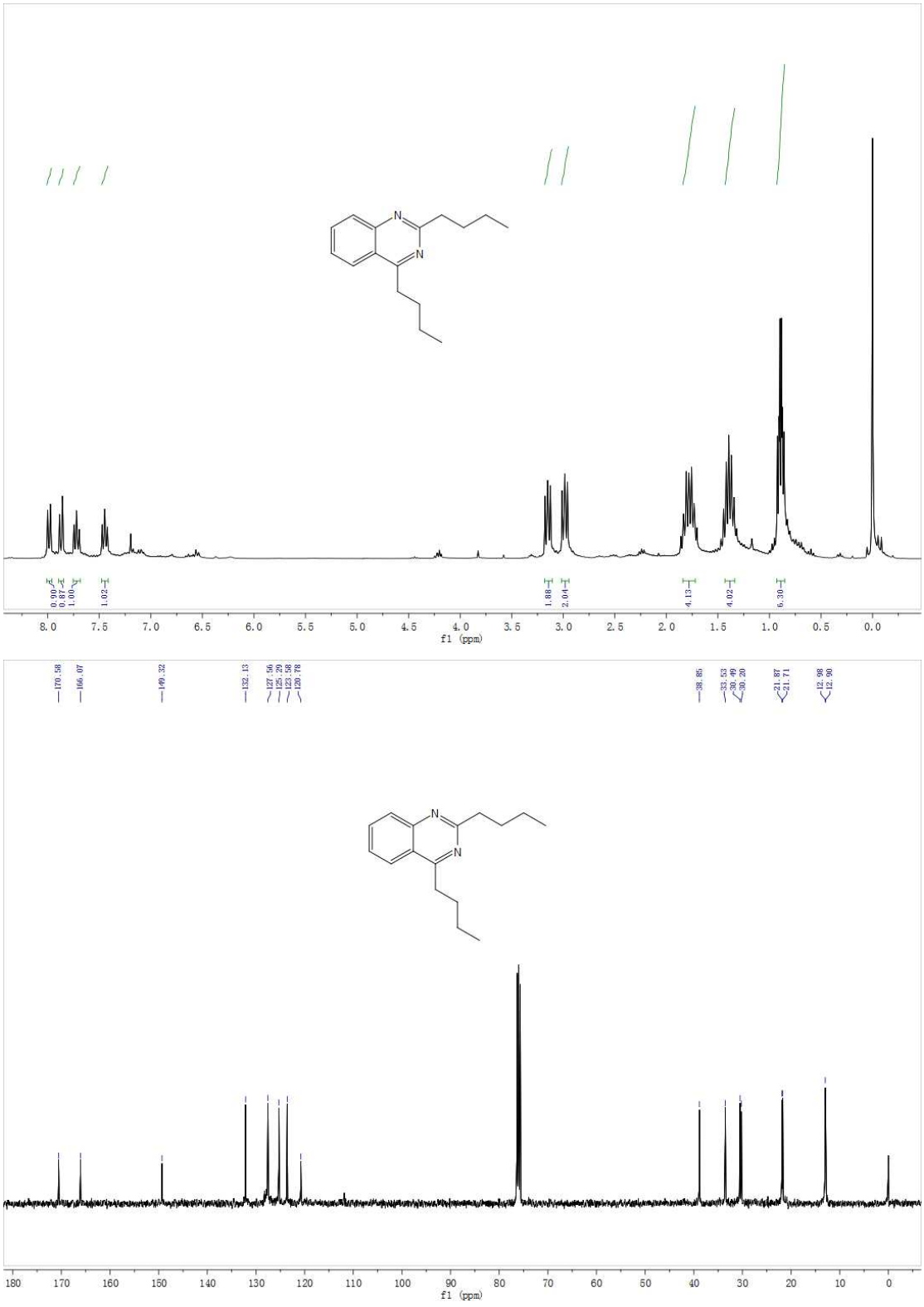


2,4-dibutylquinazoline (3j): yellow oil, 131 mg, yield: 54%.

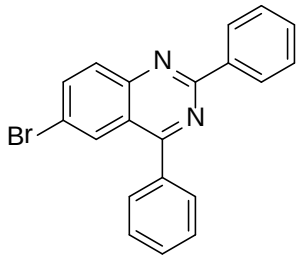
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 7.99 (d, *J* = 8.3 Hz, 1H), 7.87 (d, *J* = 8.5 Hz, 1H), 7.72 (t, *J* = 7.7 Hz, 1H), 7.48 - 7.41 (m, 1H), 3.15 (t, *J* = 7.8 Hz, 2H), 2.99 (d, *J* = 7.9 Hz, 3H), 1.86 - 1.69 (m, 4H), 1.43 - 1.34 (m, 4H), 0.93 - 0.85 (m, 6H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 170.58, 166.07, 149.32, 132.13, 127.56, 125.29, 123.58, 120.78, 38.85, 33.53, 30.49, 30.20, 21.87, 21.71, 12.98, 12.90.

HRMS(ESI): m/z calcd for C16H22N2 $[M+H]^{+}$: 243.1856; found: 243.1849.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

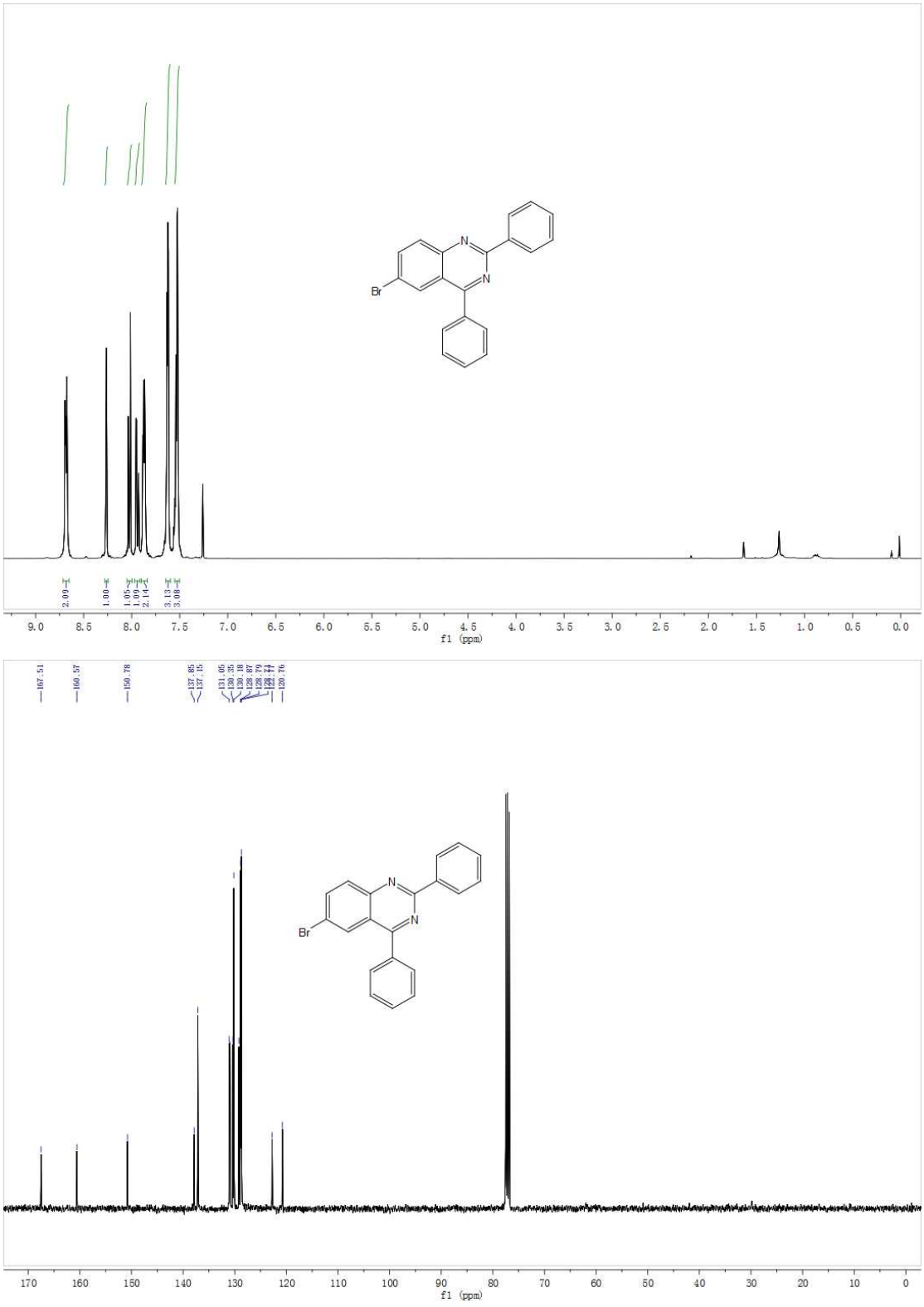


6-bromo-2,4-diphenylquinazoline (4a) [2(a,b)]: white solid, 259 mg, yield: 72%.

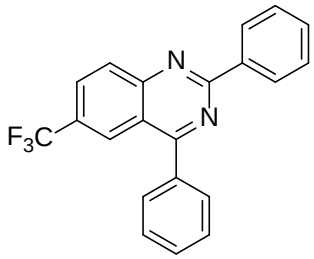
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.68 (dd, *J* = 7.2, 2.3 Hz, 2H), 8.26 (d, *J* = 1.9 Hz, 1H), 8.02 (d, *J* = 9.0 Hz, 1H), 7.94 (dd, *J* = 8.9, 2.0 Hz, 1H), 7.90 - 7.84 (m, 2H), 7.65 - 7.60 (m, 3H), 7.55 - 7.50 (m, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 167.51, 160.57, 150.78, 137.85, 137.15(CH×1, C×1), 131.05, 130.91, 130.35, 130.18(CH×2), 129.20, 128.87(CH×2), 128.79(CH×2), 128.71(CH×2), 122.77, 120.76.

HRMS(ESI): m/z calcd for $C_{20}H_{13}BrN_2$ $[M+H]^+$: 361.0335; found: 361.0330.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101MHz, $CDCl_3$) (down)

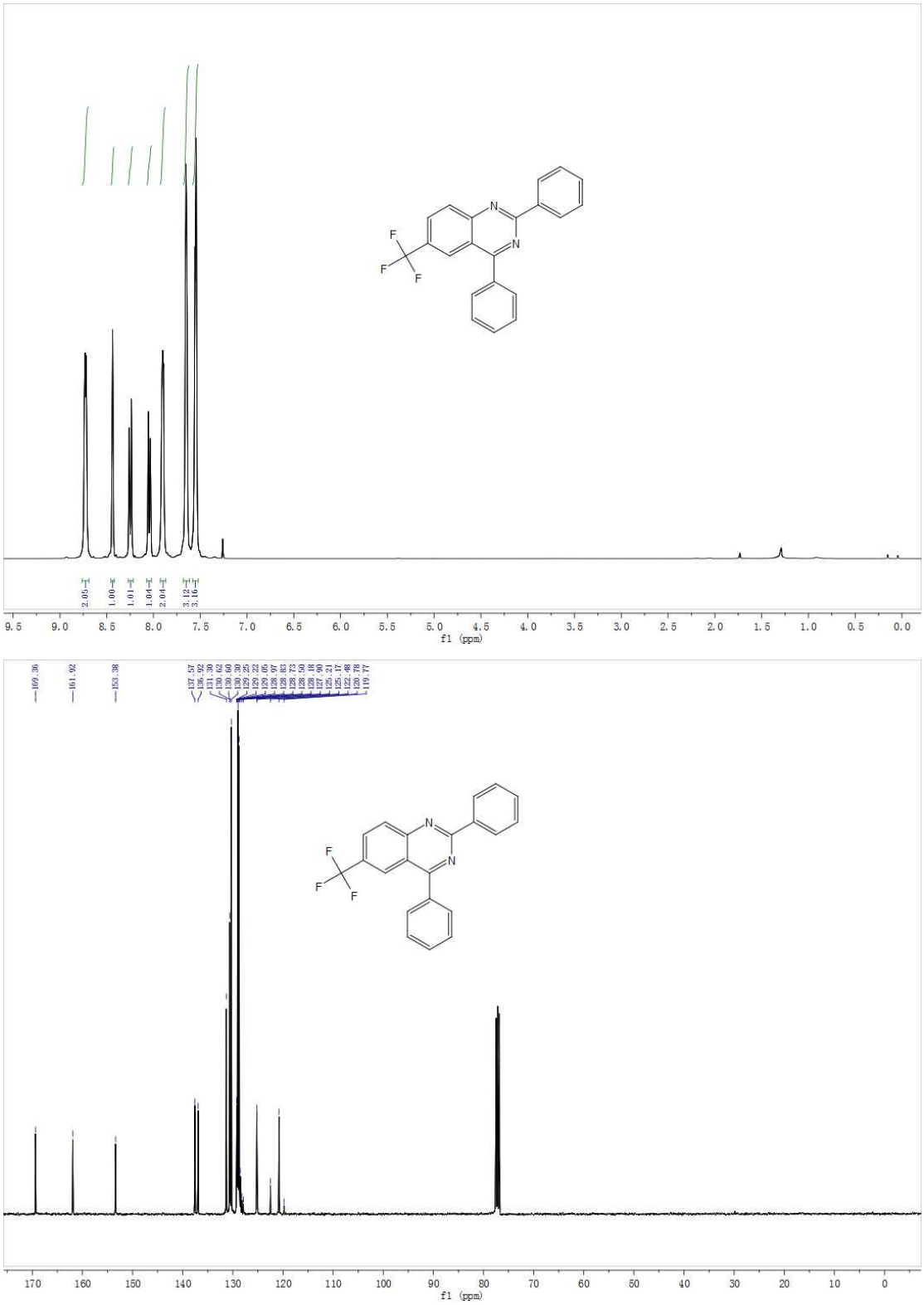


2,4-diphenyl-6-(trifluoromethyl)quinazoline (4b): white solid, 245 mg, yield: 70%.

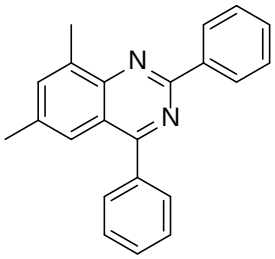
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.71 (dd, *J* = 5.5, 2.3 Hz, 2H), 8.42 (s, 1H), 8.23 (d, *J* = 8.8 Hz, 1H), 8.03 (d, *J* = 8.8 Hz, 1H), 7.91 - 7.86 (m, 2H), 7.67 - 7.60 (m, 3H), 7.57 - 7.51 (m, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 169.36, 161.92, 153.38, 137.57, 136.92, 131.30, 130.62, 130.60, 130.30 (CH×2), 129.23 (q, *J* = 2.6 Hz), 129.05 (CH×2), 128.97 (CH×2),128.73 (CH×2), 128.67 (q, *J* = 32.9 Hz), 125.19 (q, *J* = 3.8 Hz), 123.94 (q, *J* = 272.4 Hz), 120.78.

HRMS(ESI): m/z calcd for $C_{21}H_{13}F_3N_2$ $[M+H]^+$: 351.1104; found: 351.1100.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

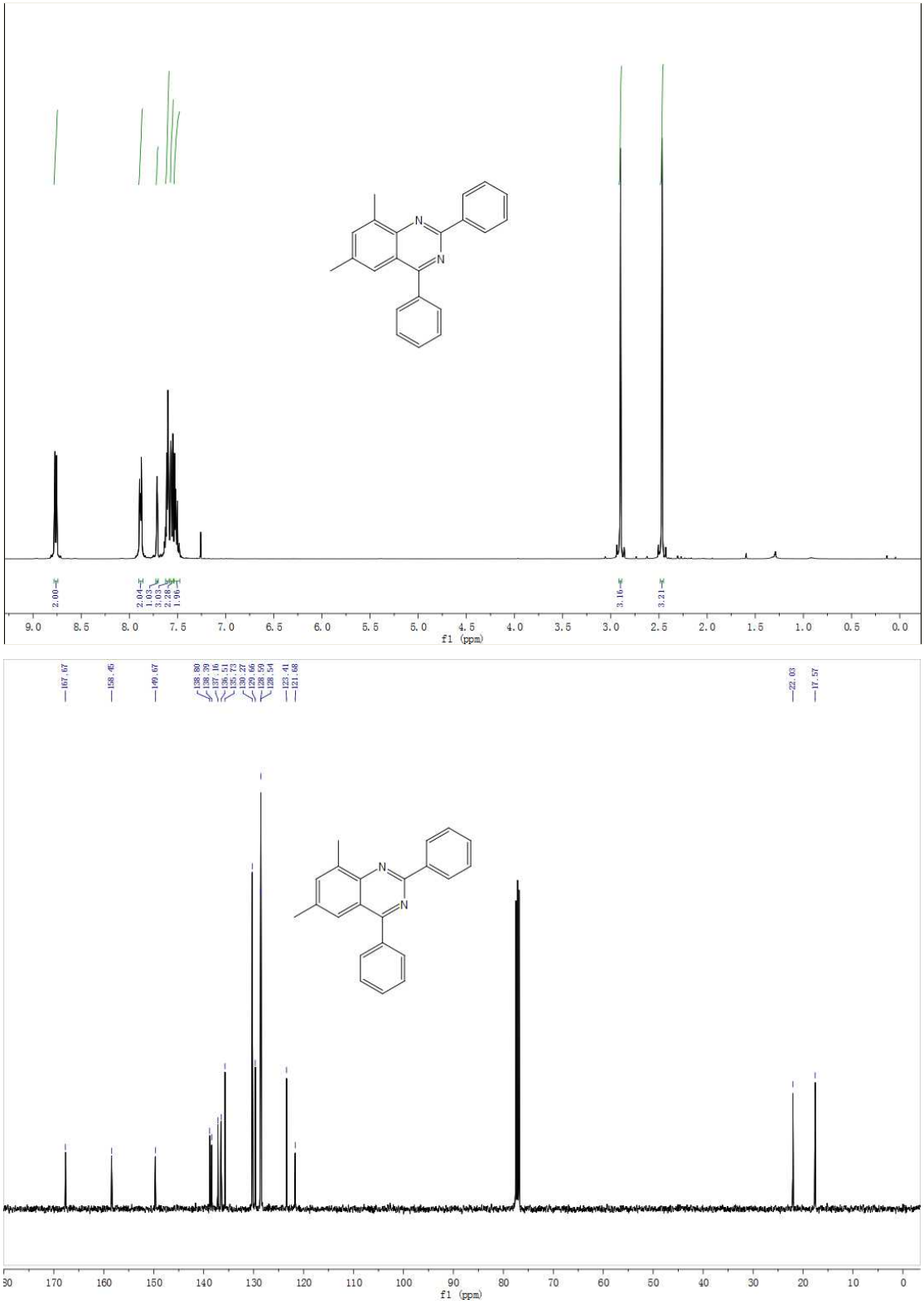


6,8-dimethyl-2,4-diphenylquinazoline (4c): white solid, 211 mg, yield: 68%.

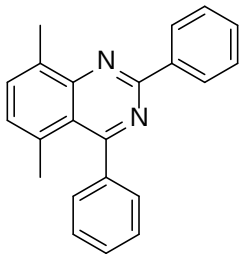
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.78 - 8.74 (m, 2H), 7.90 - 7.86 (m, 2H), 7.71 (s, 1H), 7.62 - 7.58 (m, 3H), 7.57 - 7.54 (m, 2H), 7.54 - 7.48 (m, 2H), 2.90 (s, 3H), 2.47 (s, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 167.67, 158.45, 149.67, 138.80, 138.39, 137.16, 136.51, 135.73, 130.27 (CH×3), 129.66, 128.59, 128.54 (CH×4), 123.41, 121.68, 22.03, 17.57.

HRMS(ESI): m/z calcd for $C_{22}H_{18}N_2$ $[M+H]^+$: 311.1543; found: 311.1540.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

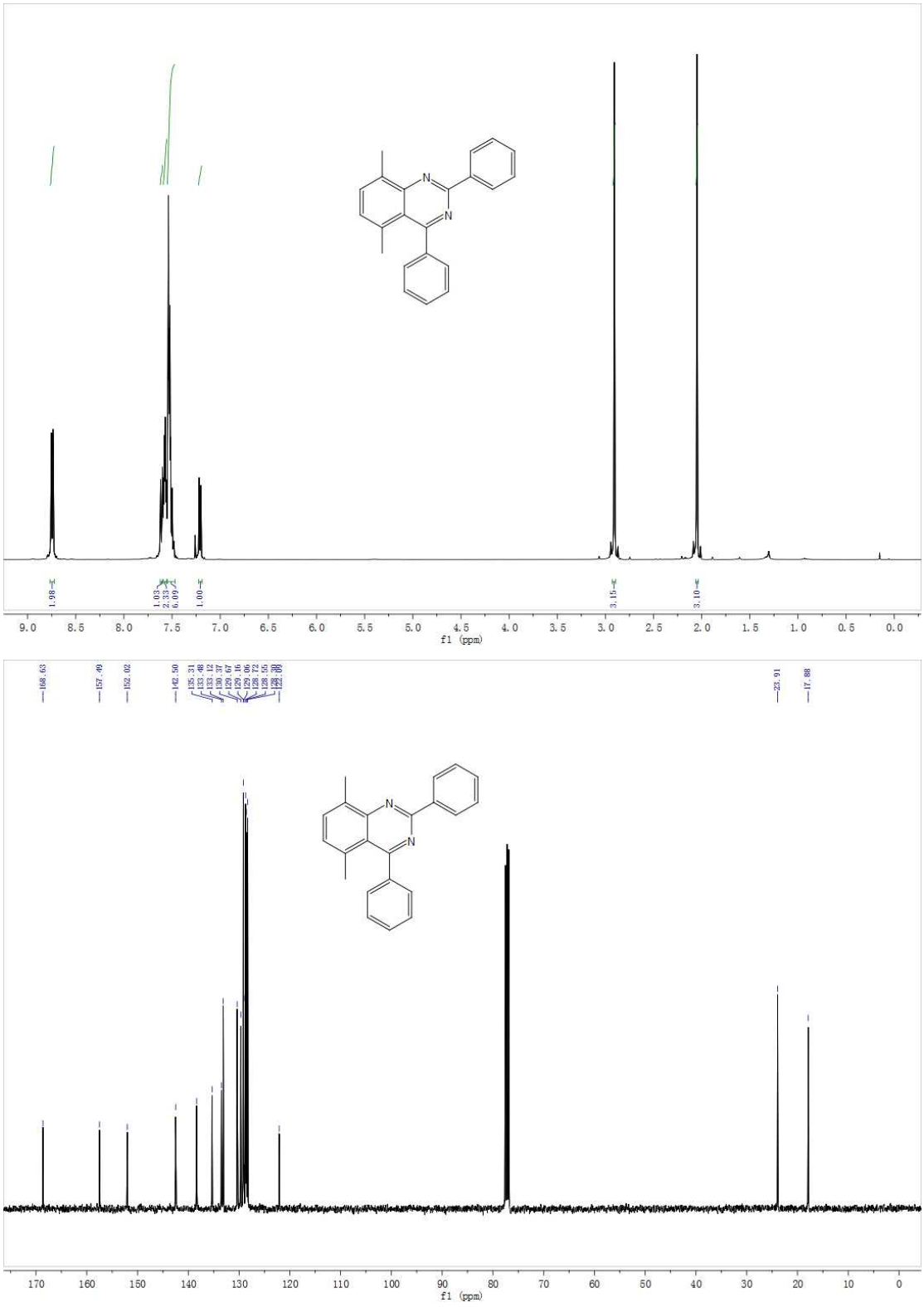


5,8-dimethyl-2,4-diphenylquinazoline (4d): white solid, 260 mg, yield: 84%.

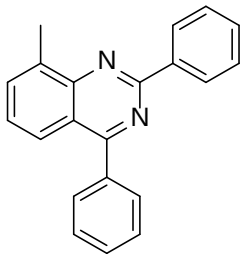
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.75 (dd, *J* = 8.0, 1.4 Hz, 2H), 7.61 (d, *J* = 7.2 Hz, 1H), 7.59 - 7.56 (m, 2H), 7.55 - 7.47 (m, 6H), 7.21 (d, *J* = 7.2 Hz, 1H), 2.91 (s, 3H), 2.05 (s, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 168.63, 157.49, 152.02, 142.50, 138.36, 135.31, 133.48, 133.12, 130.37, 129.67, 129.16 (CH×2), 129.06, 128.72 (CH×2), 128.55 (CH×2), 128.30 (CH×2), 122.09, 23.91, 17.88.

HRMS(ESI): m/z calcd for $C_{22}H_{18}N_2$ $[M+H]^+$: 311.1543; found: 311.1543.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

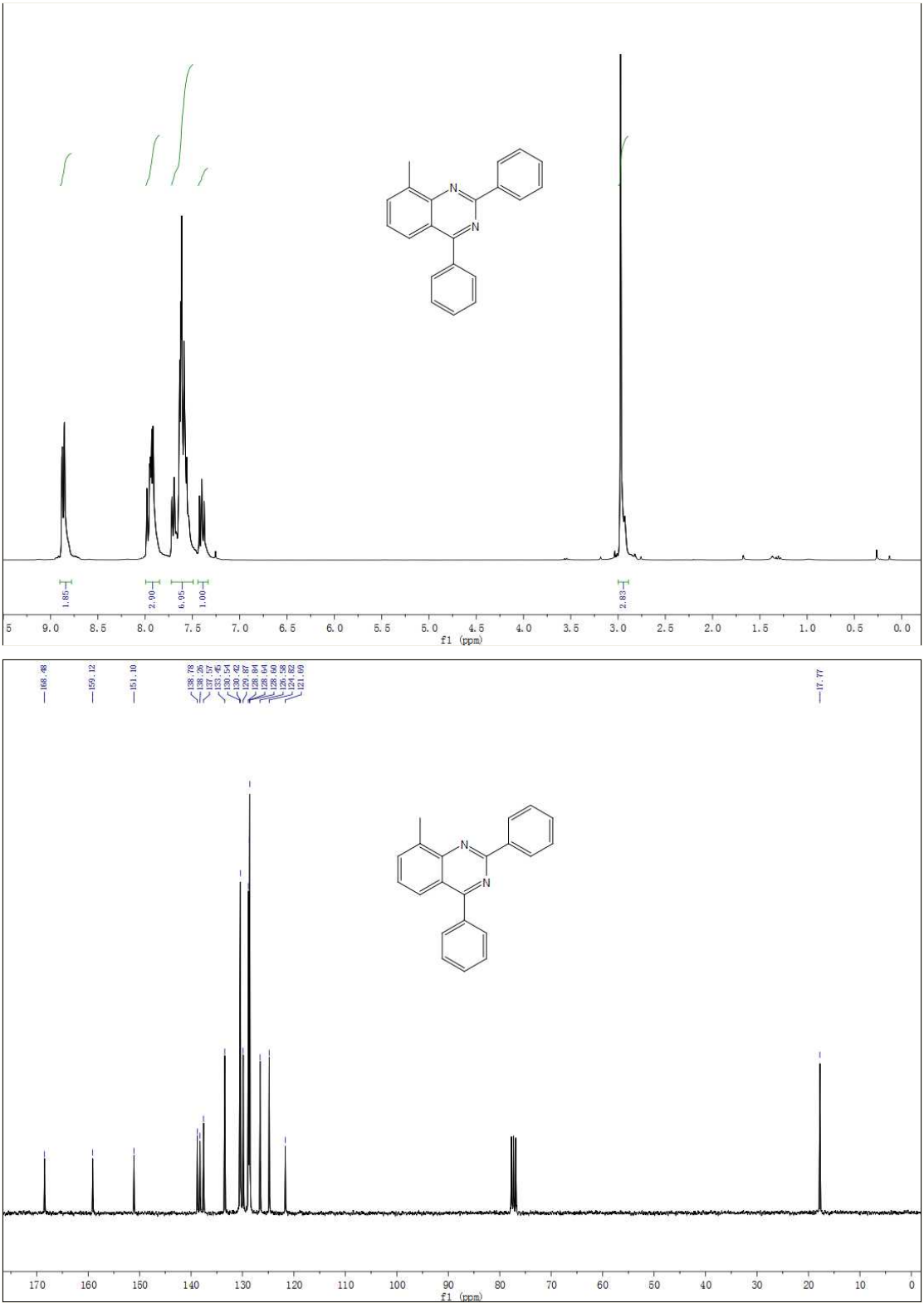


8-methyl-2,4-diphenylquinazoline (4e): white solid, 260 mg, yield: 88%.

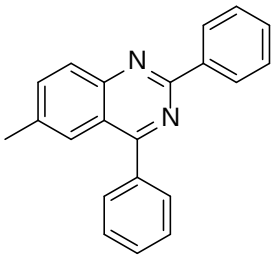
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.87 (dd, *J* = 7.3, 1.0 Hz, 2H), 7.99 - 7.85 (m, 3H), 7.72 - 7.49 (m, 7H), 7.44 - 7.34 (m, 1H), 2.97 (s, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 168.48, 159.12, 151.10, 138.78, 138.26, 137.57, 133.45, 130.54, 130.42 (CH×2), 129.87, 128.84 (CH×2), 128.64 (CH×2), 128.60 (CH×2), 126.58, 124.82, 121.69, 17.77.

HRMS(ESI): m/z calcd for $C_{21}H_{16}N_2$ $[M+H]^+$: 297.1386; found: 297.1386.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

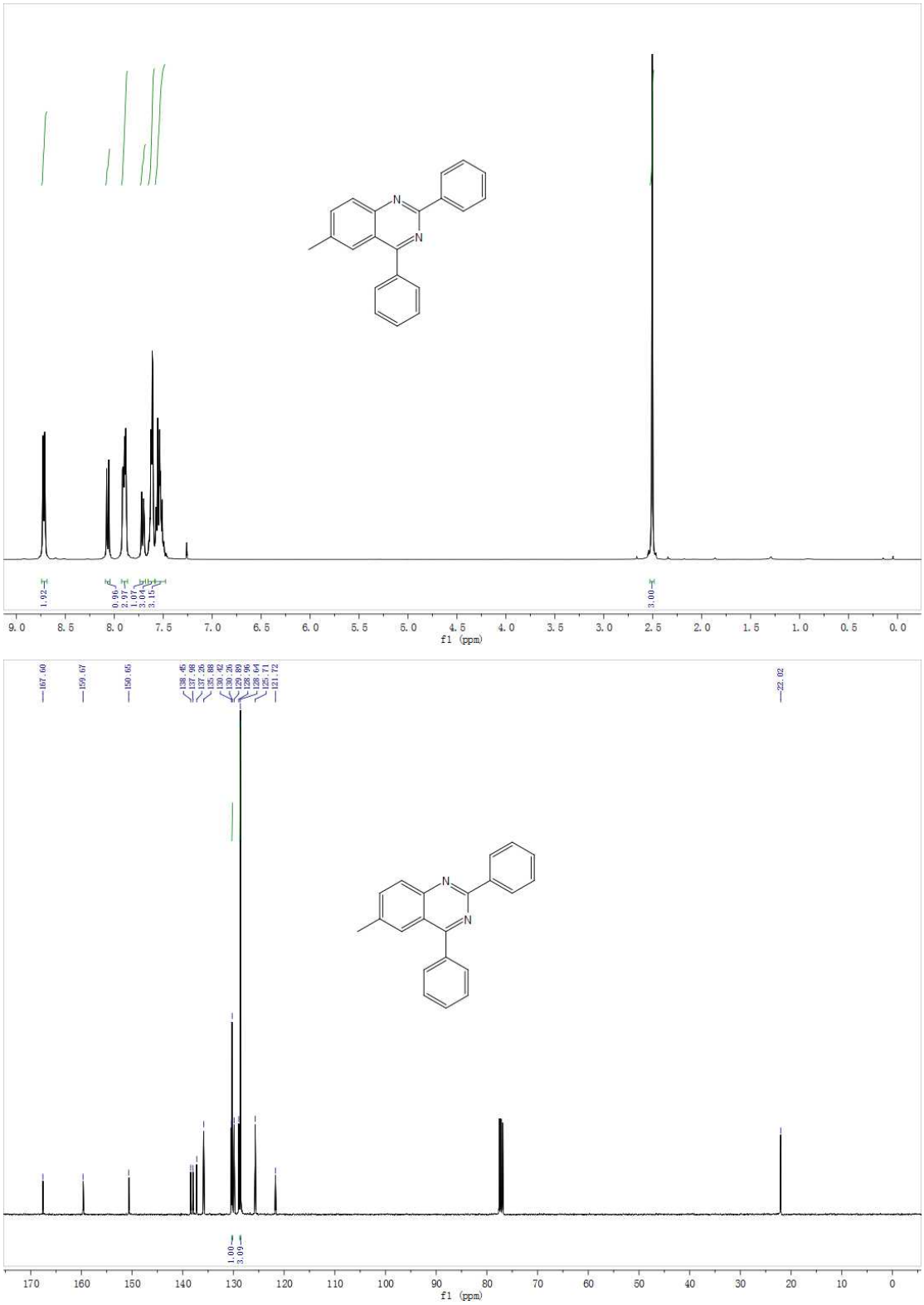


6-methyl-2,4-diphenylquinazoline (4f)[3]: white solid, 263 mg, yield: 89%.

^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.72 (d, *J* = 6.8 Hz, 2H), 8.07 (d, *J* = 8.6 Hz, 1H), 7.92 - 7.86 (m, 3H), 7.71 (dd, *J* = 8.6, 1.4 Hz, 1H), 7.65 - 7.59 (m, 3H), 7.58 - 7.48 (m, 3H), 2.51 (s, 3H).

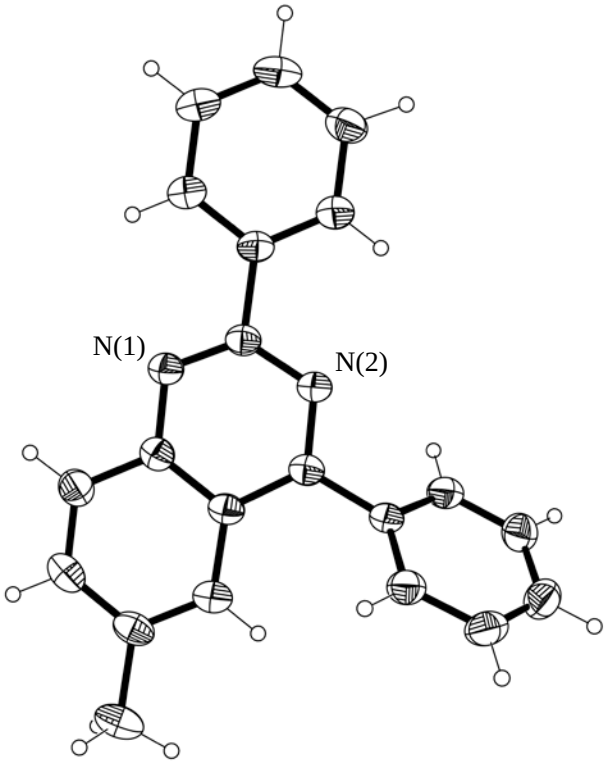
^{13}C NMR (101 MHz, CHLOROFORM-D) δ 167.60, 159.67, 150.65, 138.45, 137.98, 137.26, 135.88, 130.42, 130.26(CH×2), 129.89, 128.96, 128.64(CH×6), 125.71, 121.72, 22.02.

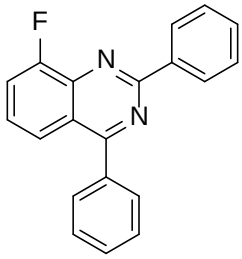
HRMS(ESI): m/z calcd for $C_{21}H_{16}N_2$ $[M+H]^+$: 297.1386; found: 297.1384.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

X-ray crystal structure analysis of compound 4f: Single crystals suitable for X-ray analysis were obtained by slow evaporation of its solution in Et_2O. Formula: $C_{21}H_{16}N_2$, *M* = 296.3, colourless crystal, 0.30 x 0.40 x 0.40 mm, *a* = 7.4635(11), *b* = 10.487(3), *c* = 11.065(2) Å, α = 71.601(18)°, β = 88.482(15)°, γ = 72.077(13)° , *V* = 779.5(3) Å^3, ρ_{calc} = 1.263 gcm^{-3}, μ = 0.075 mm^{-1}, *Z* = 2, triclinic, space group $P\bar{1}$ (No. 2), λ = 0.71073 Å, *T* = 295 K. Theta (max) = 25.1°, R (reflections) = 0.0571(1809), wR2 (reflections) = 0.1211(2725).



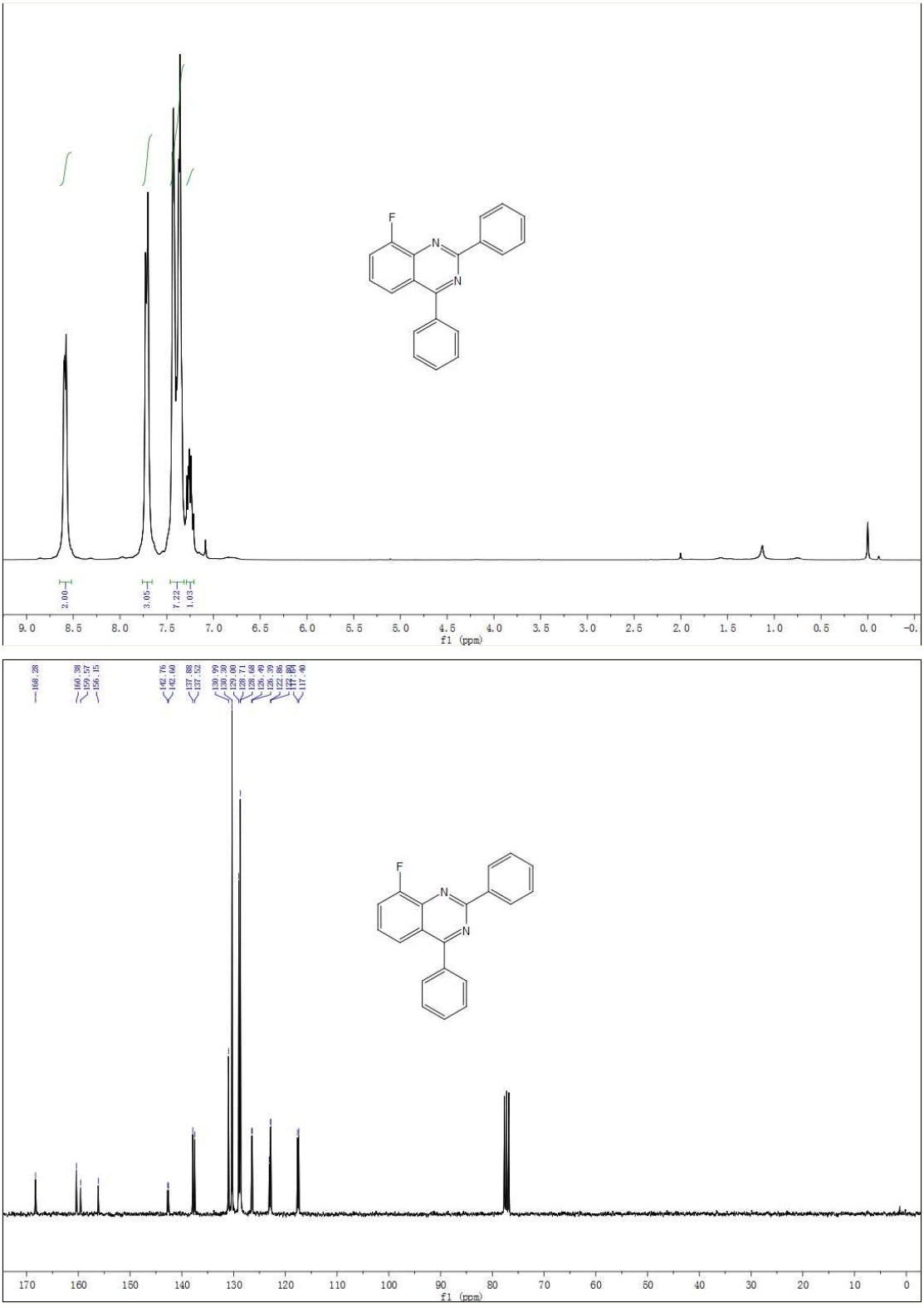


8-fluoro-2,4-diphenylquinazoline (4g): white solid, 282 mg, yield: 94%

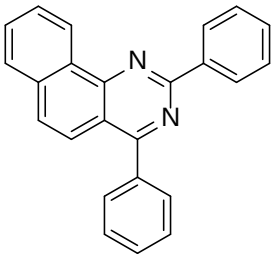
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.64 - 8.52 (m, 2H), 7.76 - 7.66 (m, 3H), 7.46 - 7.31 (m, 7H), 7.29 - 7.21 (m, 1H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 168.28, 160.38, 157.86 (d, *J* = 258.5 Hz), 142.68 (d, *J* = 12.1 Hz), 137.88, 137.52, 130.99, 130.30 (CH×3), 129.00 (CH×2), 128.71 (CH×2), 128.68 (CH×2), 126.44 (d, *J* = 7.5 Hz), 123.10, 122.83 (d, *J* = 4.8 Hz), 117.52 (d, *J* = 18.3 Hz).

HRMS(ESI): m/z calcd for $C_{20}H_{13}FN_2$ $[M+H]^+$: 301.1136; found: 301.1136.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

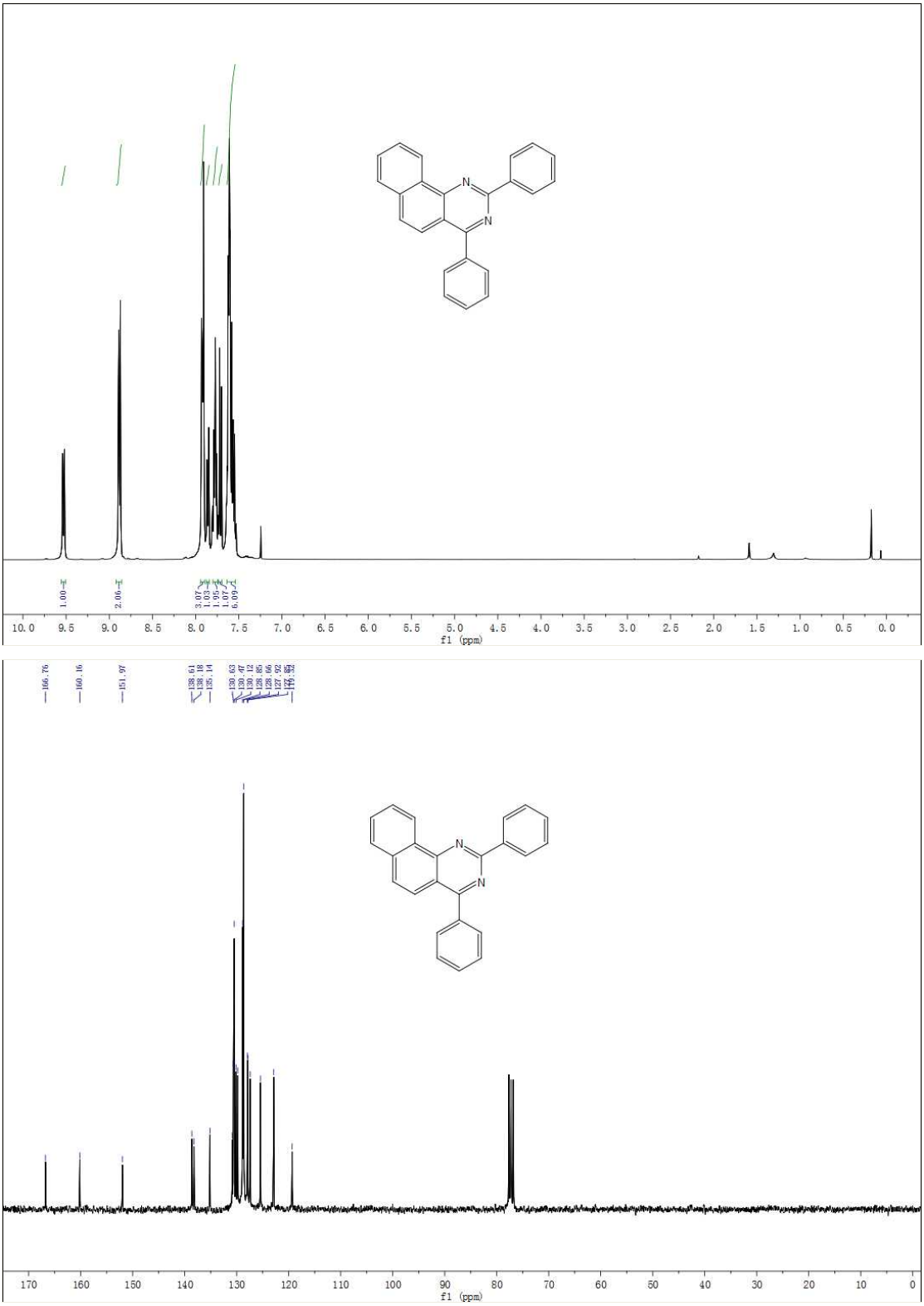


2,4-diphenylbenzo[h]quinazoline (4h)[4]: white solid, 219 mg, yield: 66%.

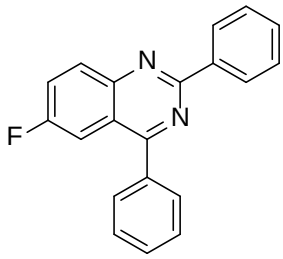
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 9.56 - 9.50 (m, 1H), 8.92 - 8.86 (m, 2H), 7.94 - 7.90 (m, 3H), 7.88 - 7.84 (m, 1H), 7.80 - 7.75 (m, 2H), 7.73 - 7.69 (m, 1H), 7.64 - 7.54 (m, 6H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 166.76, 160.16, 151.97, 138.61, 138.18, 135.14, 130.83, 130.63, 130.47 (CH×2), 130.12, 129.79, 128.85 (CH×2), 128.66 (CH×4), 127.92, 127.85, 127.40, 125.43, 122.88, 119.32.

HRMS(ESI): m/z calcd for $C_{24}H_{16}N_2$ $[M+H]^+$: 333.1386; found: 333.1386.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

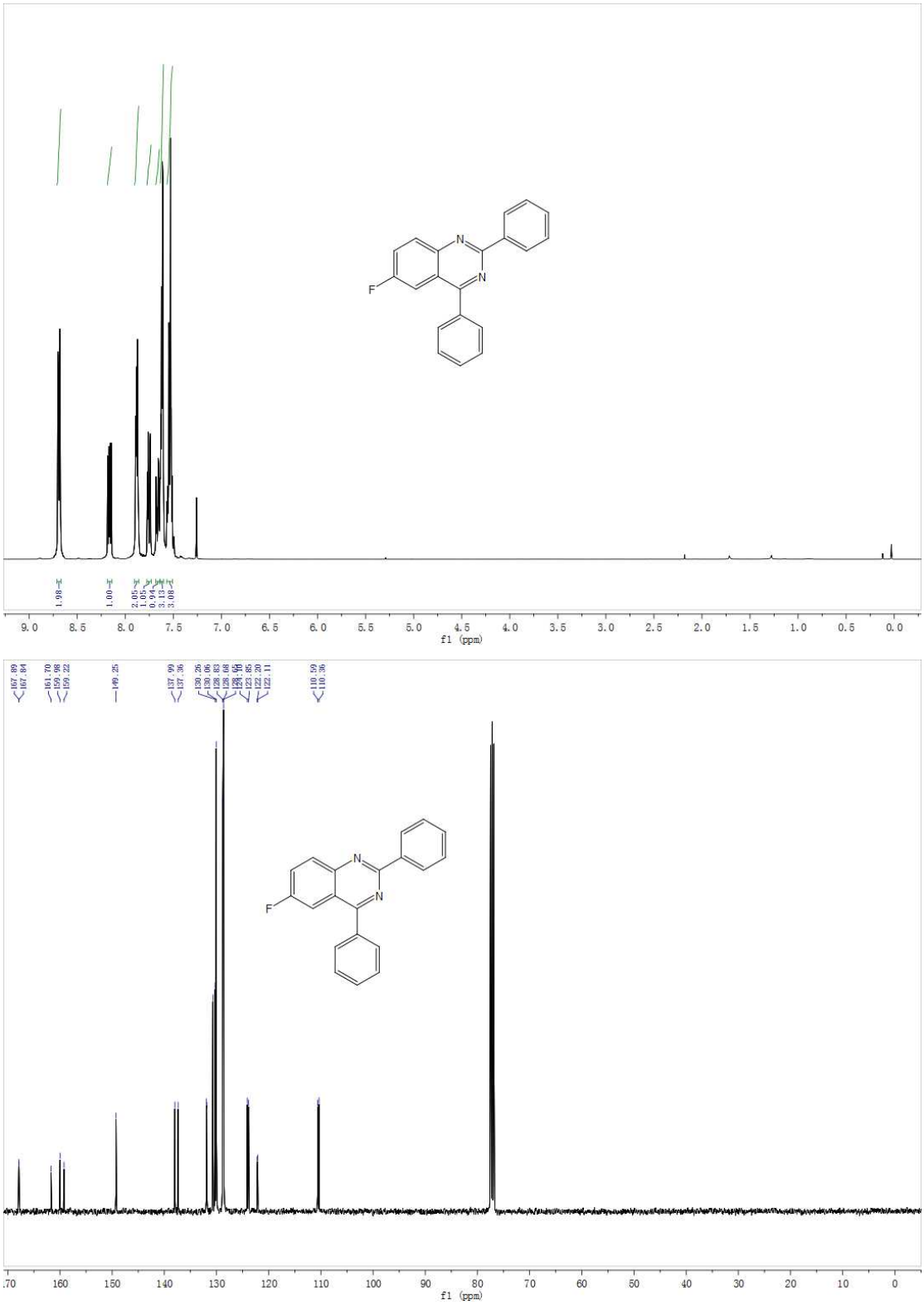


8-fluoro-2,4-diphenylquinazoline (4l): white solid, 270 mg, yield: 90%.

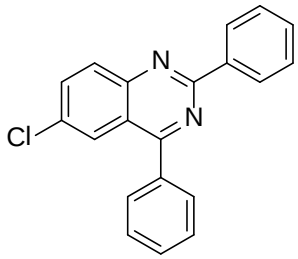
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.69 (m, 2H), 8.16 (dd, *J* = 9.2, 5.3 Hz, 1H), 7.91 - 7.86 (m, 2H), 7.75 (dd, *J* = 9.2, 2.8 Hz, 1H), 7.68 - 7.64 (m, 1H), 7.64 - 7.60 (m, 3H), 7.57 - 7.51 (m, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ167.87 (d, *J* = 5.5 Hz), 161.70, 159.98, 159.22, 149.25, 137.68 (d, *J* = 63.3 Hz), 131.89 (d, *J* = 8.5 Hz), 130.70, 130.26, 130.06(CH×2), 128.83(CH×2), 128.68(CH×2), 128.65(CH×2), 123.97 (d, *J* = 25.8 Hz), 122.16 (d, *J* = 9.2 Hz), 110.48 (d, *J* = 23.1 Hz).

HRMS(ESI): m/z calcd for $C_{20}H_{13}FN_2$ $[M+H]^+$: 301.1136; found: 301.1130.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

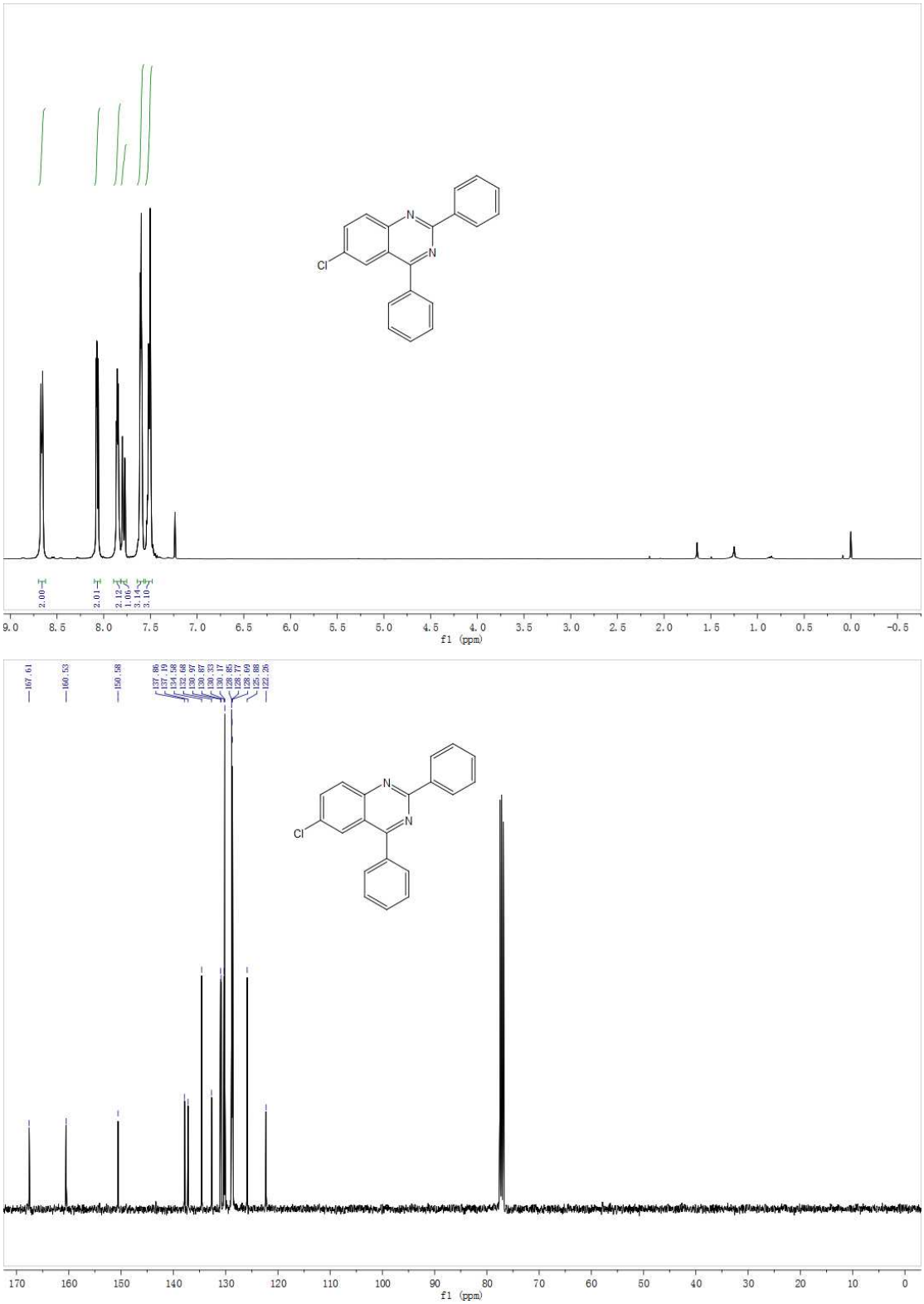


6-chloro-2,4-diphenylquinazoline (4j)[5]: white solid, 240 mg, yield: 76%.

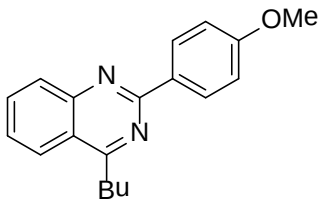
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.66 (dd, *J* = 5.5, 2.5 Hz, 2H), 8.07 (dd, *J* = 5.5, 3.2 Hz, 2H), 7.85 (dd, *J* = 6.4, 2.9 Hz, 2H), 7.78 (dd, *J* = 9.0, 2.2 Hz, 1H), 7.64 - 7.57 (m, 3H), 7.48 - 7.55 (m, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 167.61, 160.53, 150.58, 137.86, 137.19, 134.58, 132.68, 130.97, 130.87, 130.33, 130.17 (CH×2), 128.85 (CH×2), 128.77 (CH×2), 128.69 (CH×2), 125.88, 122.26.

HRMS(ESI): m/z calcd for $C_{20}H_{13}ClN_2$ $[M+H]^+$: 317.0840; found: 317.0837.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

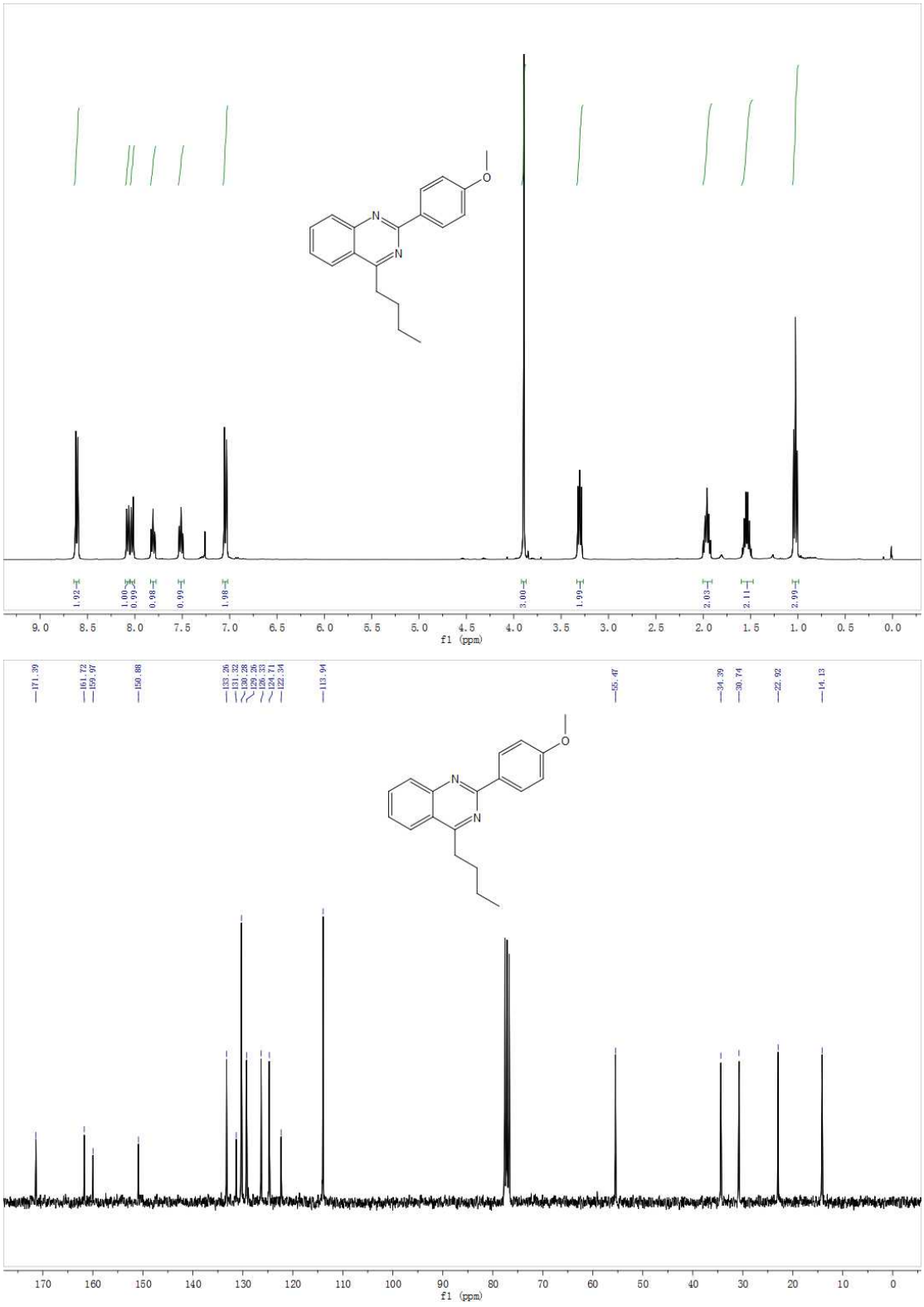


4-butyl-2-(4-methoxyphenyl)quinazoline (5a): white solid, 202 mg, yield: 69%.

^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.61 (d, *J* = 8.9 Hz, 2H), 8.08 (d, *J* = 8.2 Hz, 1H), 8.03 (d, *J* = 8.4 Hz, 1H), 7.83 - 7.78 (m, 1H), 7.54 - 7.48 (m, 1H), 7.04 (d, *J* = 8.9 Hz, 2H), 3.89 (s, 3H),3.30 (t, *J* = 7.6 Hz, 2H), 2.00 - 1.91 (m, 2H), 1.60 - 1.47 (m, 2H), 1.03 (t, *J* = 7.4 Hz, 3H).

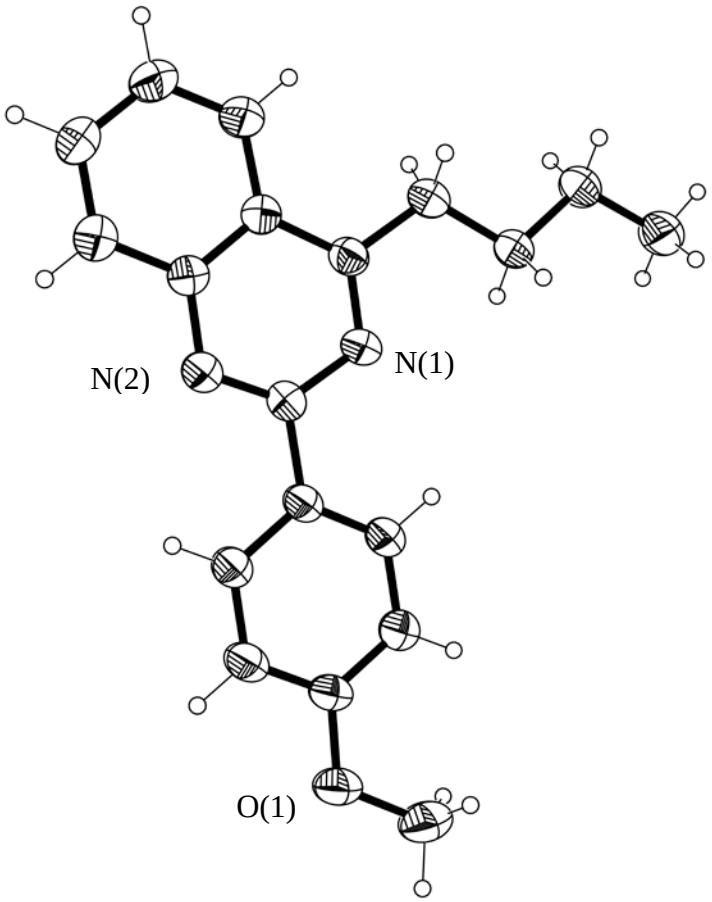
^{13}C NMR (76 MHz, CHLOROFORM-D) δ 171.39, 161.72, 159.97, 150.88, 133.26, 131.32, 130.28 (CH×2), 129.26, 126.33, 124.71, 122.34, 113.94 (CH×2), 55.47, 34.39, 30.74, 22.92, 14.13.

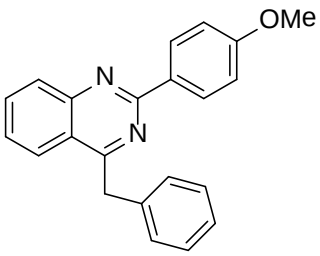
HRMS(ESI): m/z calcd for $C_{19}H_{20}N_2O$ $[M+H]^+$: 293.1648; found: 293.1645.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

X-ray crystal structure analysis of compound 5a: Single crystals suitable for X-ray analysis were obtained by slow evaporation of its solution in Et_2O. Formula: $C_{19}H_{20}N_2O$, M = 292.37, colourless crystal, 0.20 x 0.40 x 0.60 mm, a = 7.482(2), b = 9.231(3), c = 12.345(3) Å, α = 71.15(3)°, β = 75.16(2)°, γ = 86.82(3)° , V = 779.7(4) Å^3, ρ_{calc} = 1.245 gcm^{-3}, μ = 0.078 mm^{-1}, Z = 2, triclinic, space group $P\bar{1}$ (No. 2), λ = 0.71073 Å, T = 295 K. Theta (max) = 25.5°, R (reflections) = 0.0599(1462), wR2 (reflections) = 0.1339(2871).



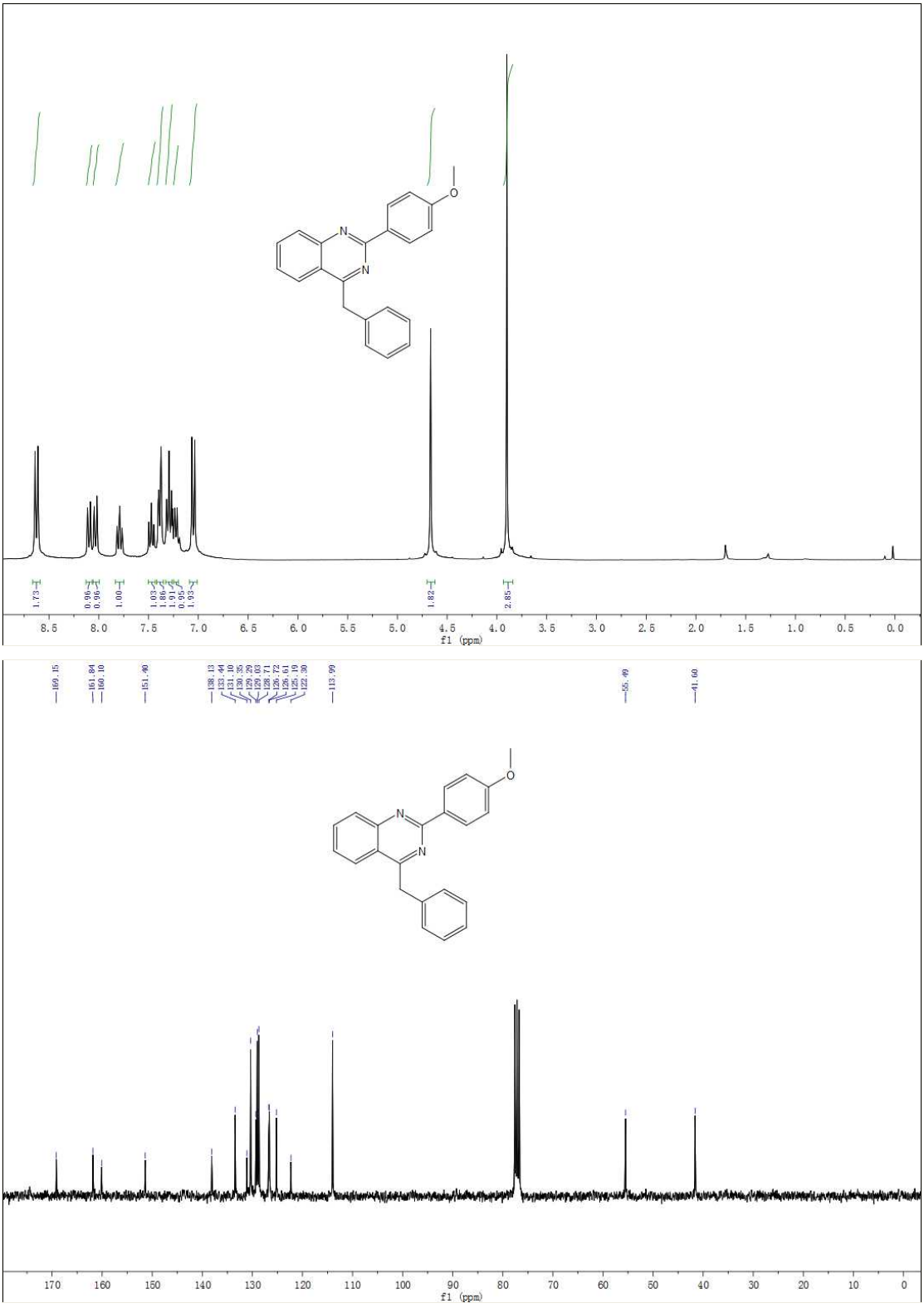


4-benzyl-2-(4-methoxyphenyl)quinazoline (5b): white solid, 162 mg, yield: 50%.

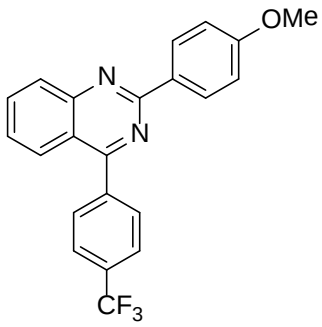
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.63 (d, *J* = 8.9 Hz, 2H), 8.10 (d, *J* = 8.4 Hz, 1H), 8.03 (d, *J* = 8.4 Hz, 1H), 7.83 - 7.75 (m, 1H), 7.50 - 7.43 (m, 1H), 7.39 (d, *J* = 7.2 Hz, 2H), 7.29 (t, *J* = 7.3 Hz, 2H), 7.23 (d, *J* = 7.1 Hz, 1H), 7.05 (d, *J* = 8.9 Hz, 2H), 4.67 (s, 2H), 3.90 (s, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 169.15, 161.84, 160.10, 151.40, 138.13, 133.44, 131.10, 130.35(CH×2), 129.29, 129.03(CH×2), 128.71(CH×2), 126.72, 126.61, 125.19, 122.30, 113.99(CH×2), 55.49, 41.60.

HRMS(ESI): m/z calcd for $C_{22}H_{18}N_2O$ $[M+H]^+$: 327.1492; found: 327.1490.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

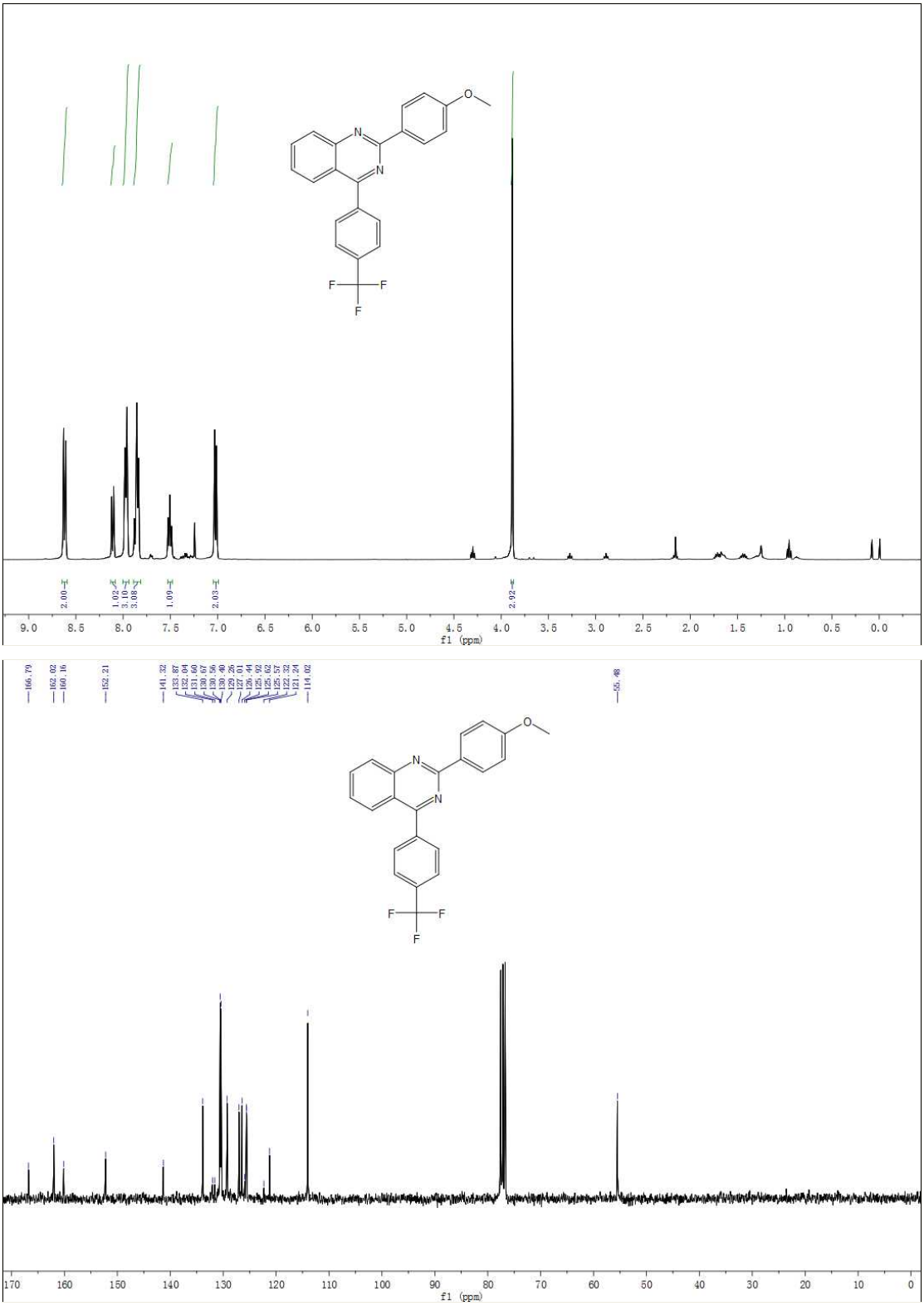


2-(4-methoxyphenyl)-4-(4-(trifluoromethyl)phenyl)quinazoline (5c): white solid, 270 mg, yield: 72%.

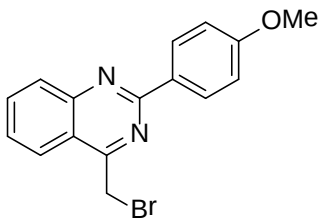
^{1}H NMR (400 MHz, CHLOROFORM-D) δ 8.65 - 8.59 (m, 2H), 8.11 (d, *J* = 8.5 Hz, 1H), 7.97 (d, *J* = 8.0 Hz, 3H), 7.86 (t, *J* = 9.1 Hz, 3H), 7.51 (t, *J* = 7.5 Hz, 1H), 7.05 - 6.99 (m, 2H), 3.88 (s, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 166.79, 162.02, 160.16, 152.21, 141.32, 133.87, 131.82 (q, *J* = 32.8 Hz), 130.67, 130.56(CH×2), 130.40 (CH×2), 129.26, 127.01, 126.44, 125.59 (q, *J* = 3.6 Hz, CH×2), 124.12 (q, *J* = 272.3 Hz), 121.24, 114.02 (CH×2), 55.48.

HRMS(ESI): m/z calcd for $C_{22}H_{15}F_3N_2O$ $[M+H]^+$: 381.1209; found: 381.1210.



^{1}H NMR (400 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

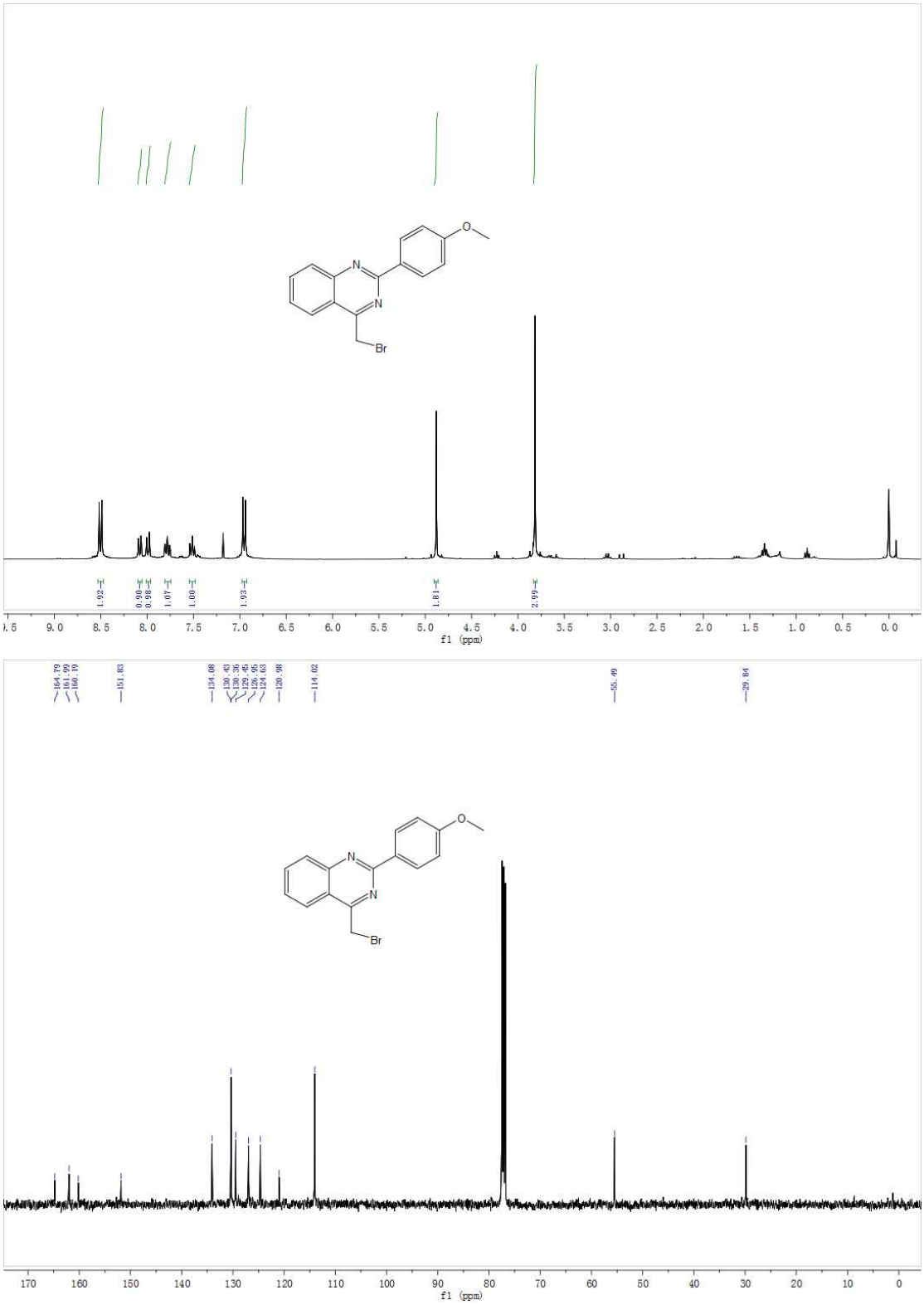


4-(bromomethyl)-2-(4-methoxyphenyl)quinazoline (5d): yellow solid, 179 mg, yield: 55%.

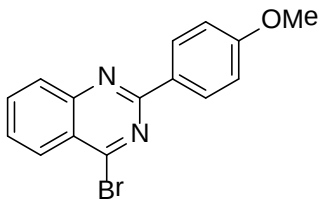
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.53 - 8.47 (m, 2H), 8.08 (d, *J* = 8.3 Hz, 1H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.81 - 7.74 (m, 1H), 7.55 - 7.48 (m, 1H), 6.97 - 6.92 (m, 2H), 4.88 (s, 2H), 3.82 (s, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 164.79, 161.99, 160.19, 151.83, 134.08, 130.43, 130.36 (CH×2), 129.45, 126.95, 124.63, 120.98, 114.02 (CH×2), 55.49, 29.84.

HRMS(ESI): m/z calcd for $C_{16}H_{13}BrN_2O$ $[M+H]^+$: 329.0284; found: 329.0288.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

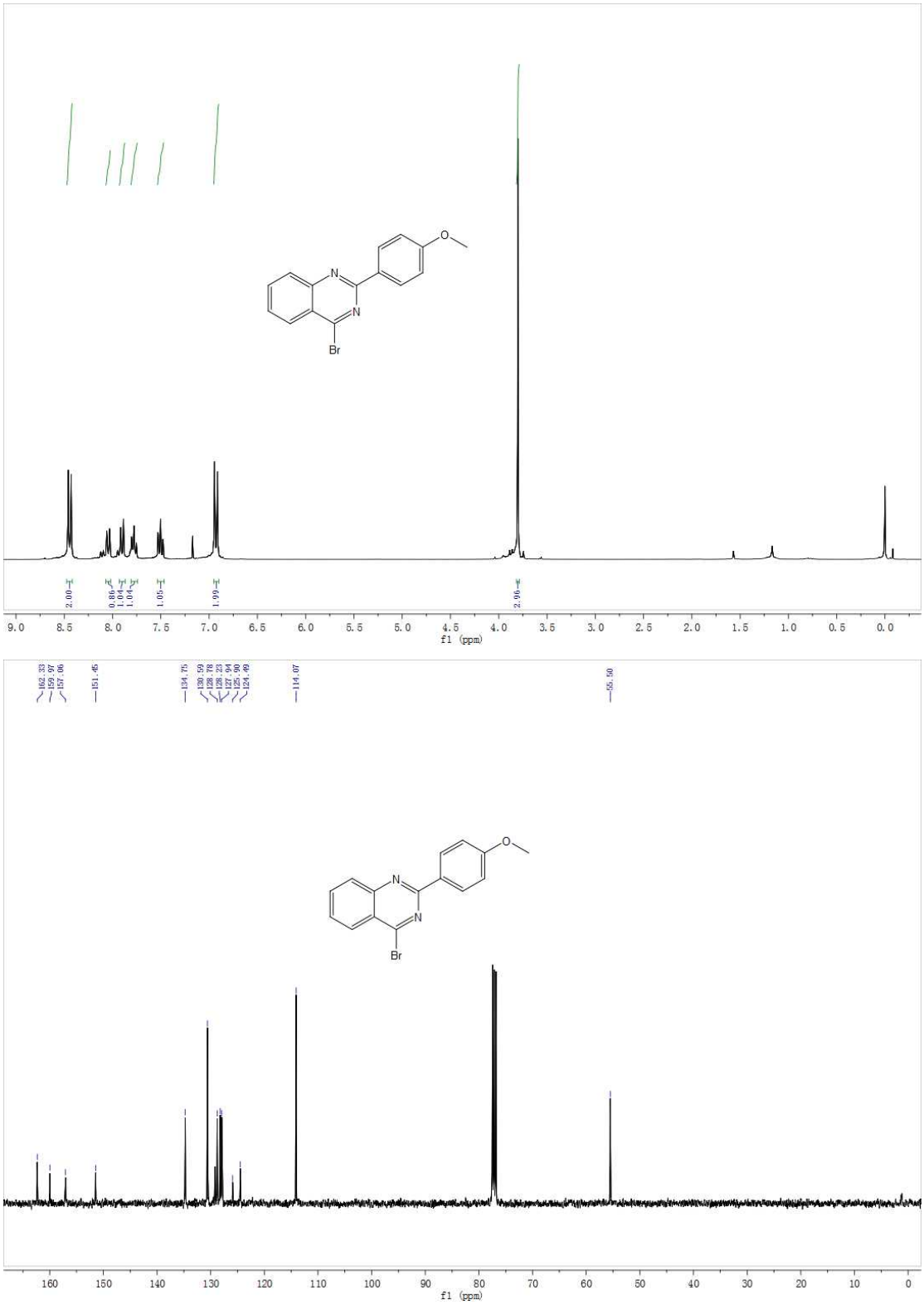


4-bromo-2-(4-methoxyphenyl)quinazoline (5e): white solid, 203 mg, yield: 65%.

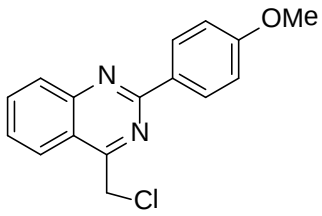
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.47 - 8.42 (m, 2H), 8.04 (dd, *J* = 8.3, 0.8 Hz, 1H), 7.90 (d, *J* = 8.7 Hz, 1H), 7.81 - 7.74 (m, 1H), 7.53 - 7.47 (m, 1H), 6.92 (dd, *J* = 9.4, 2.4 Hz, 2H), 3.80 (s, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 162.33, 159.97, 157.06, 151.45, 134.75, 130.59 (CH×2), 128.78, 128.23, 127.94, 125.90, 124.49, 114.07 (CH×2), 55.50.

HRMS(ESI): m/z calcd for $C_{15}H_{11}BrN_2O$ $[M+H]^+$: 315.0128; found: 315.0127.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

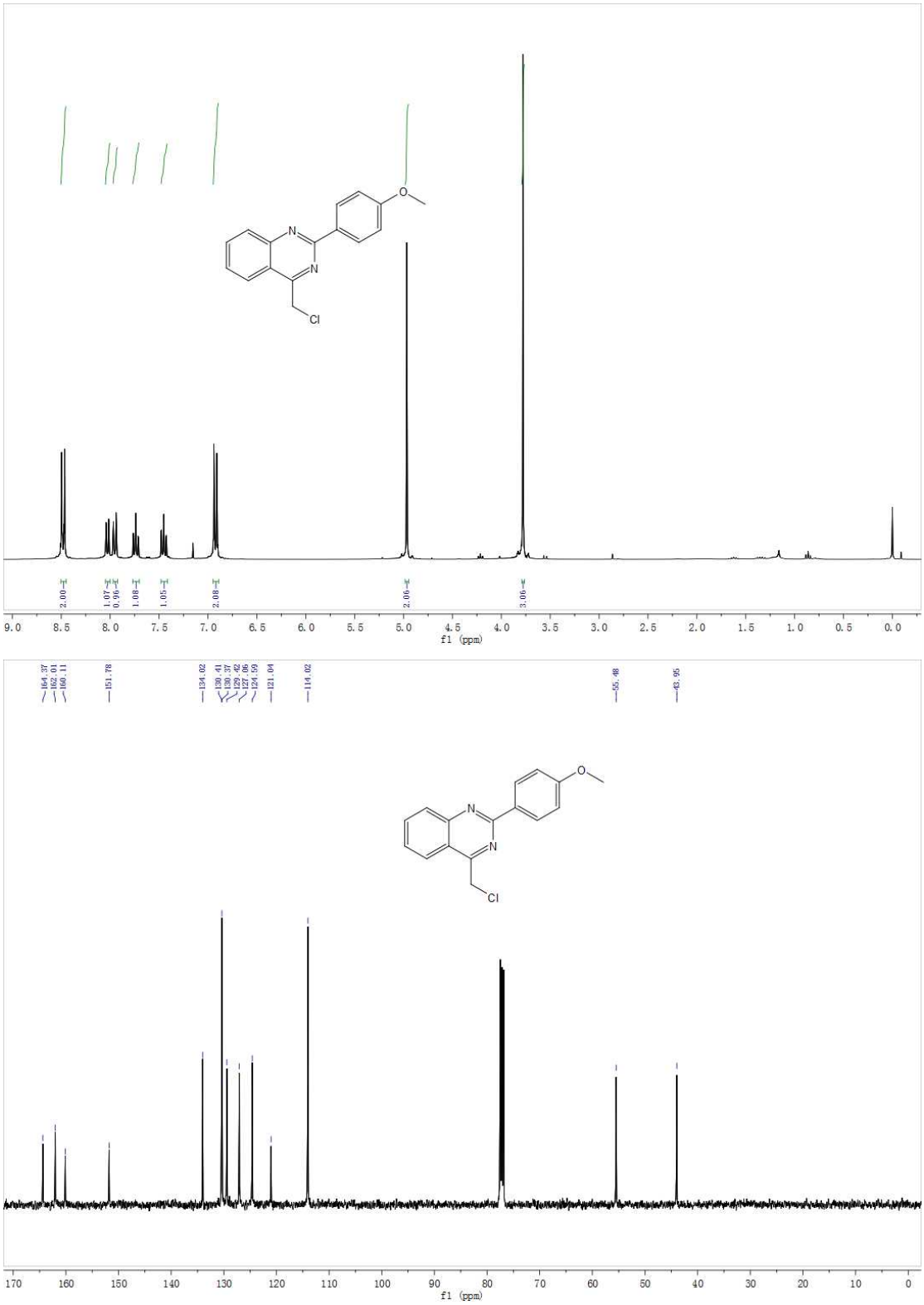


4-(chloromethyl)-2-(4-methoxyphenyl)quinazoline (5f): yellow solid, 162 mg, yield: 57%.

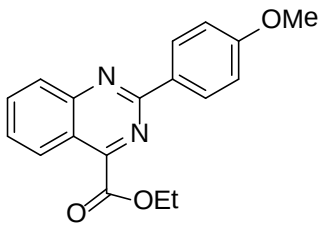
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.50 - 8.45 (m, 2H), 8.03 (d, *J* = 8.3Hz, 1H), 7.95 (d, *J* = 8.4 Hz, 1H), 7.77 - 7.70 (m, 1H), 7.48 - 7.41 (m, 1H), 6.95 - 6.89 (m, 2H), 4.97 (s, 2H), 3.78 (s, 3H).

^{13}C NMR (101 MHz, CHLOROFORM-D) δ 164.37, 162.01, 160.11, 151.78, 134.02, 130.41, 130.37 (CH×2), 129.42, 127.06, 124.59, 121.04, 114.02 (CH×2), 55.48, 43.95.

HRMS(ESI): m/z calcd for $C_{16}H_{13}ClN_2O$ $[M+H]^+$: 285.0789; found: 285.0792.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (101 MHz, $CDCl_3$) (down)

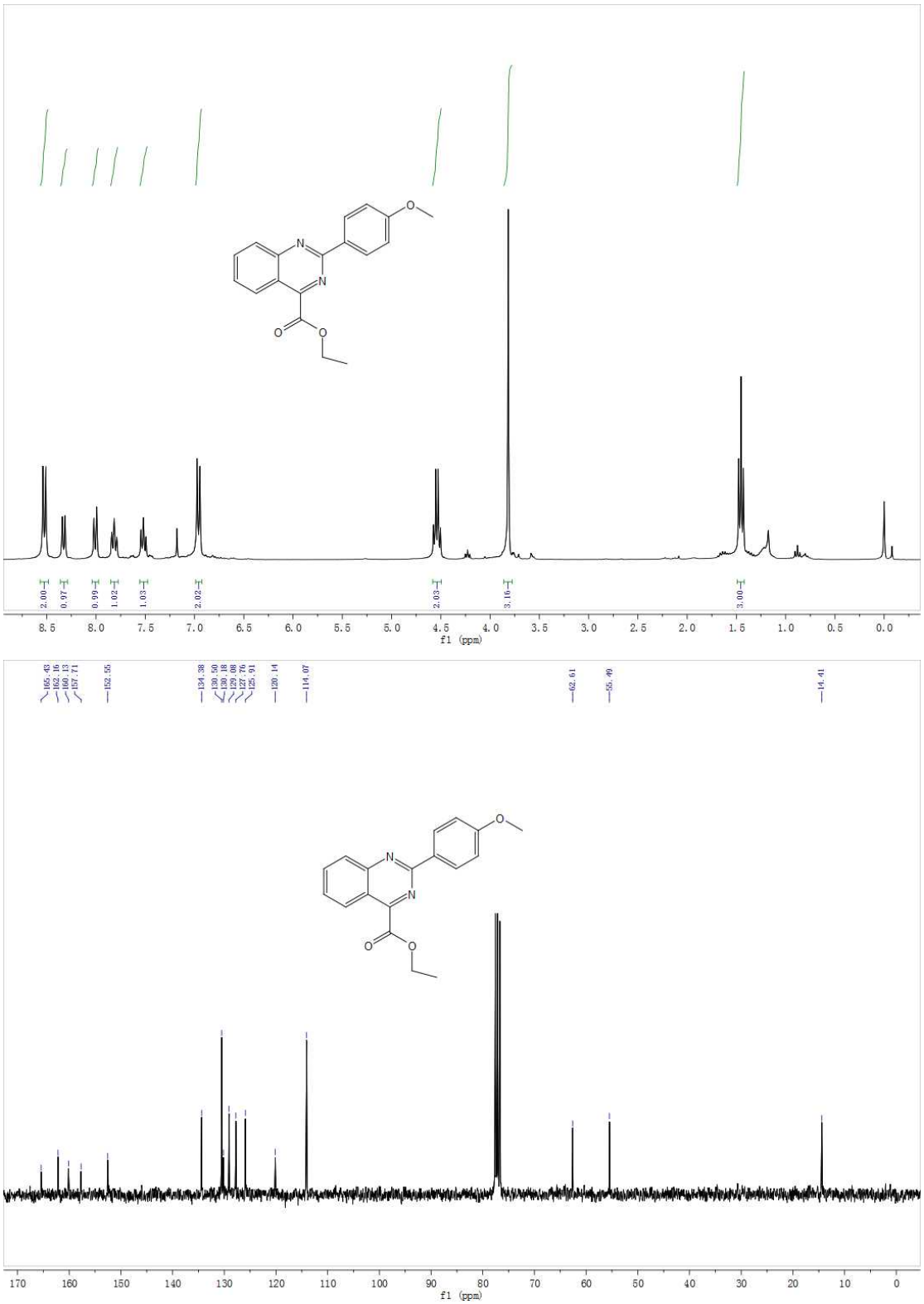


Ethyl 2-(4-methoxyphenyl)quinazoline-4-carboxylate (5g): white solid, 185 mg, yield: 60%.

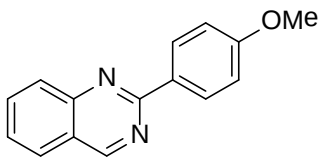
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.52 (d, *J* = 8.8 Hz, 2H), 8.33 (d, *J* = 8.4 Hz, 1H), 8.01 (d, *J* = 8.5 Hz, 1H), 7.81 (t, *J* = 7.6 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 1H), 6.96 (d, *J* = 8.8 Hz, 2H), 4.54 (q, *J* = 7.1 Hz, 2H), 3.82 (s, 3H), 1.45 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 165.43, 162.16, 160.13, 157.71, 152.55, 134.38, 130.50 (CH×2), 130.18, 129.08, 127.76, 125.91, 120.14, 114.07 (CH×2), 62.61, 55.49, 14.41.

HRMS(ESI): m/z calcd for $C_{18}H_{16}N_2O_3$ $[M+H]^+$: 309.1234; found: 309.1235.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

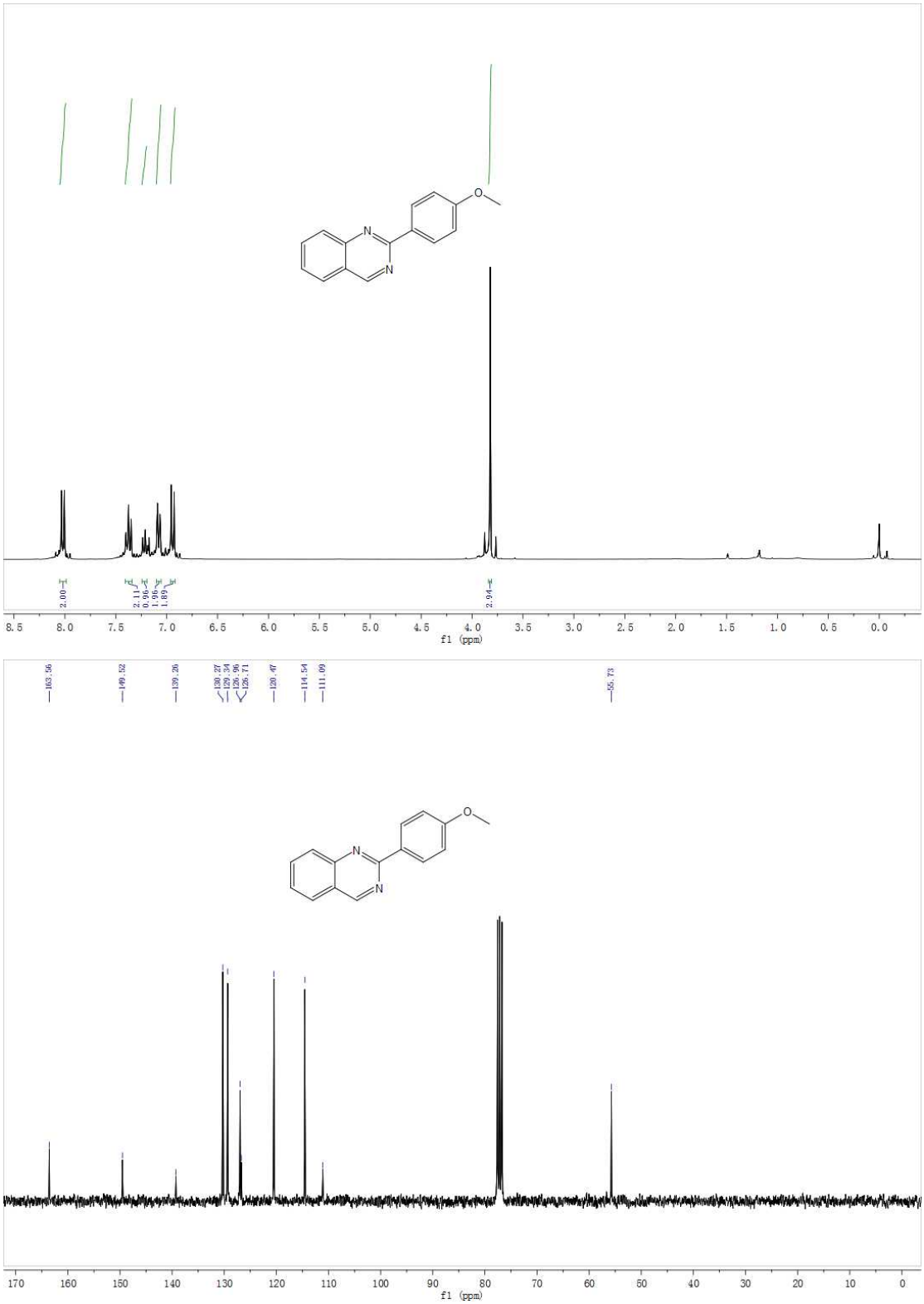


2-(4-methoxyphenyl)quinazoline (5h)[6]: yellow solid, 161 mg, yield: 67%.

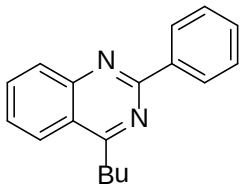
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.05 - 7.99 (m, 2H), 7.41 - 7.34 (m, 2H), 7.22 (d, *J* = 7.4 Hz, 1H), 7.10 - 1.05 (m, 2H), 6.96 - 6.92 (m, 2H), 3.82 (s, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 163.56, 149.52, 139.26, 130.27 (CH×2), 129.34 (CH×2), 126.96, 126.71, 120.47 (CH×2), 114.54 (CH×2), 111.09, 55.73.

HRMS(ESI): m/z calcd for $C_{15}H_{12}N_2O$ $[M+H]^+$: 237.1022; found: 237.1015.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

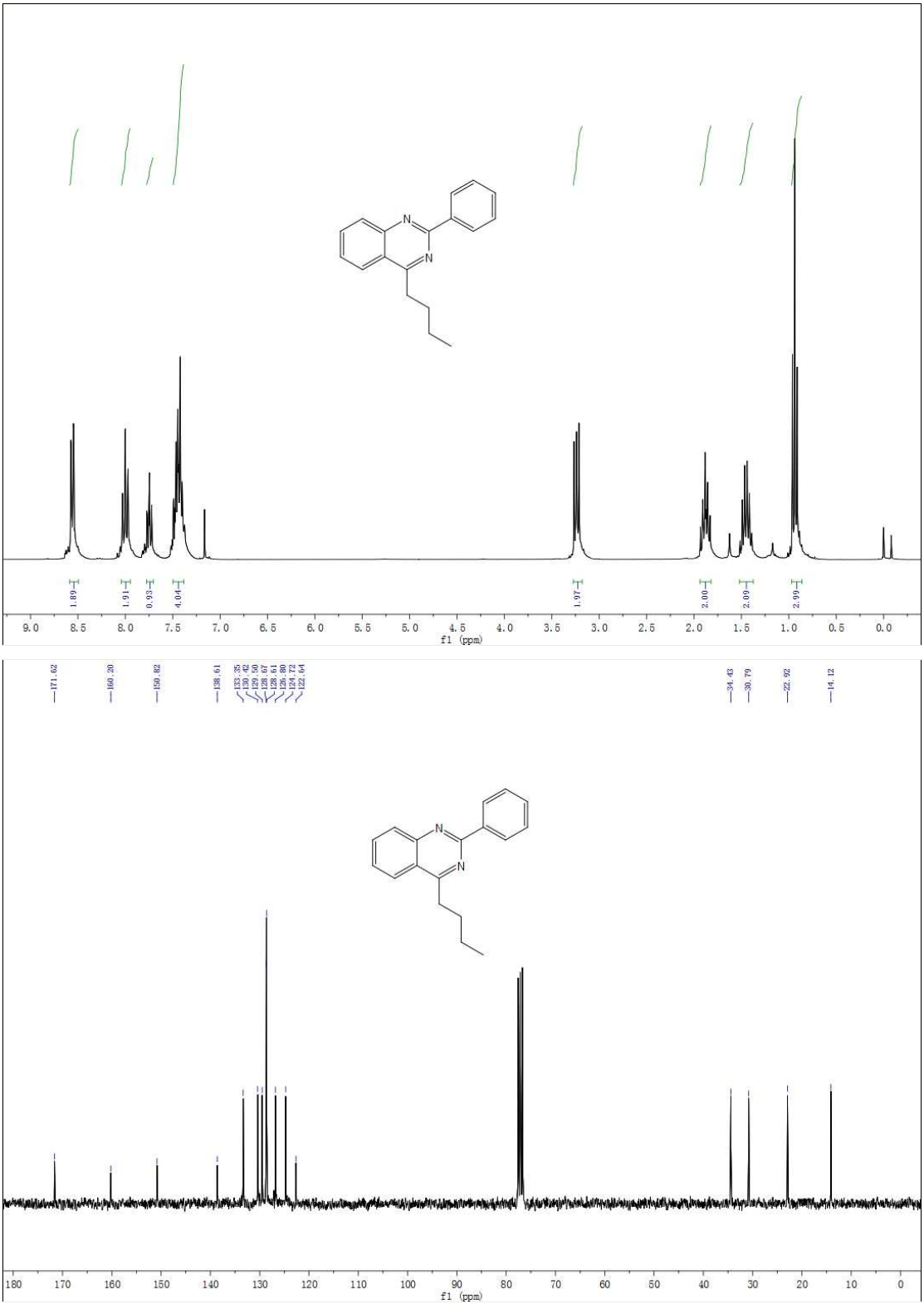


4-butyl-2-phenylquinazoline (6a)[2(a,b,c),7]: white solid, 160 mg, yield: 61%.

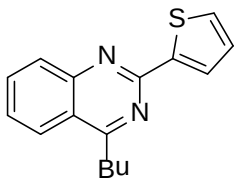
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.59 - 8.49 (m, 2H), 8.04 - 7.95 (m, 2H), 7.77 - 7.70 (m, 1H), 7.50 - 7.38 (m, 4H), 3.24 (t, *J* = 7.8 Hz, 2H), 1.94 - 1.82 (m, 2H), 1.52 - 1.37 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H)

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 171.62, 160.20, 150.82, 138.61, 133.35, 130.42, 129.50, 128.67 (CH×2), 128.61 (CH×2), 126.80, 124.72, 122.64, 34.43, 30.79, 22.92, 14.12.

HRMS(ESI): m/z calcd for $C_{18}H_{18}N_2$ $[M+H]^+$: 263.1543; found: 263.1525.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

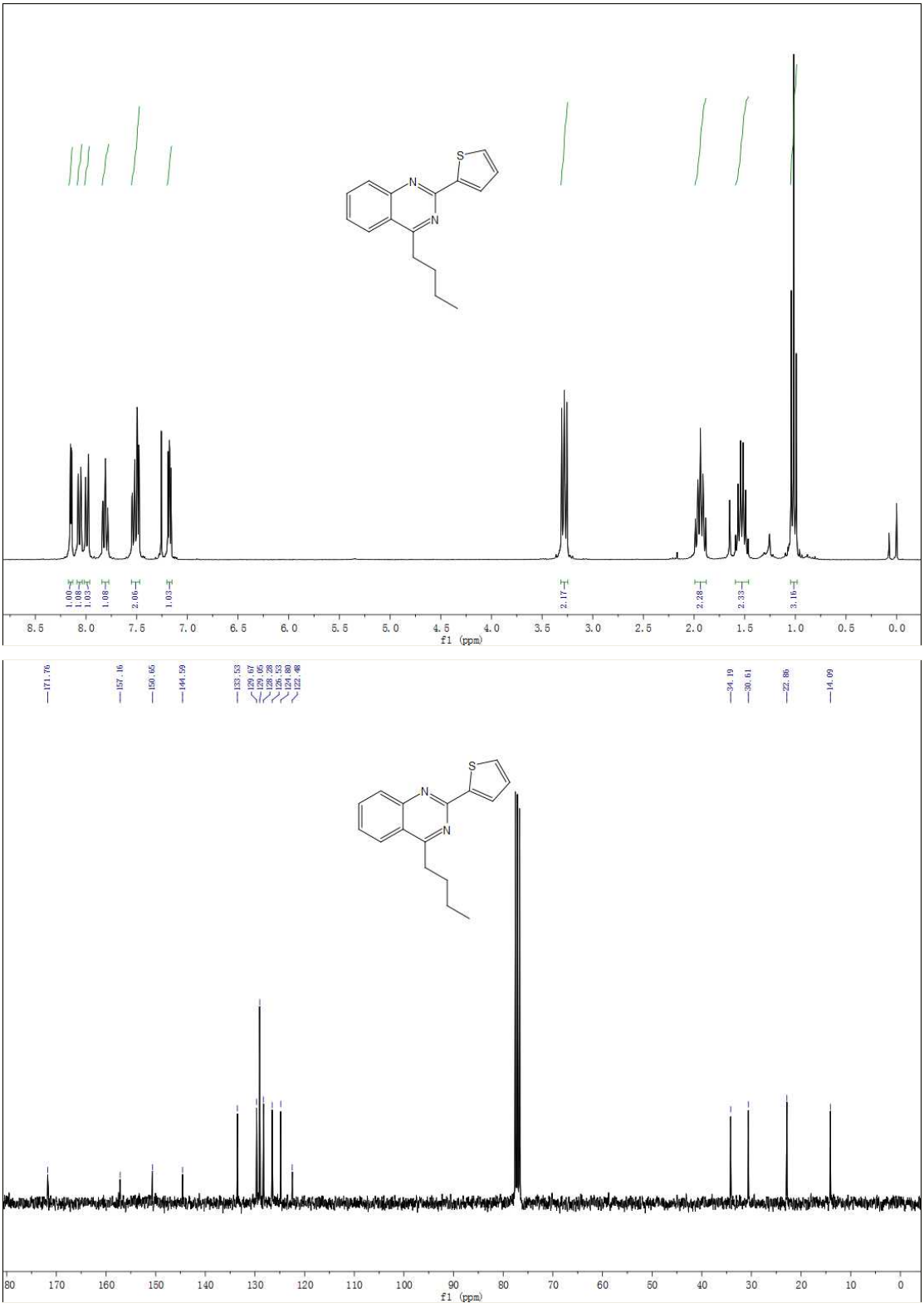


4-butyl-2-(thiophen-2-yl)quinazoline (6b): white solid, 169 mg, yield: 63%.

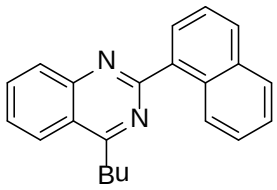
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.15 (dd, *J* = 3.7, 1.2 Hz, 1H), 8.06 (dd, *J* = 8.2, 0.6 Hz, 1H), 7.99 (d, *J* = 8.5 Hz, 1H), 7.81 (ddd, *J* = 8.3, 6.9, 1.3 Hz, 1H), 7.55 - 7.47 (m, 2H), 7.18 (dd, *J* = 5.0, 3.7 Hz, 1H), 3.28 (t, *J* = 7.8 Hz, 2H), 1.99 - 1.88 (m, 2H), 1.59 - 1.46 (m, 2H), 1.02 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 171.76, 157.16, 150.65, 144.59, 133.53, 129.67, 129.05 (CH×2), 128.28, 126.53, 124.80, 122.48, 34.19, 30.61, 22.86, 14.09.

HRMS(ESI): m/z calcd for $C_{16}H_{16}N_2S$ $[M+H]^+$: 269.1107; found: 269.1104.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

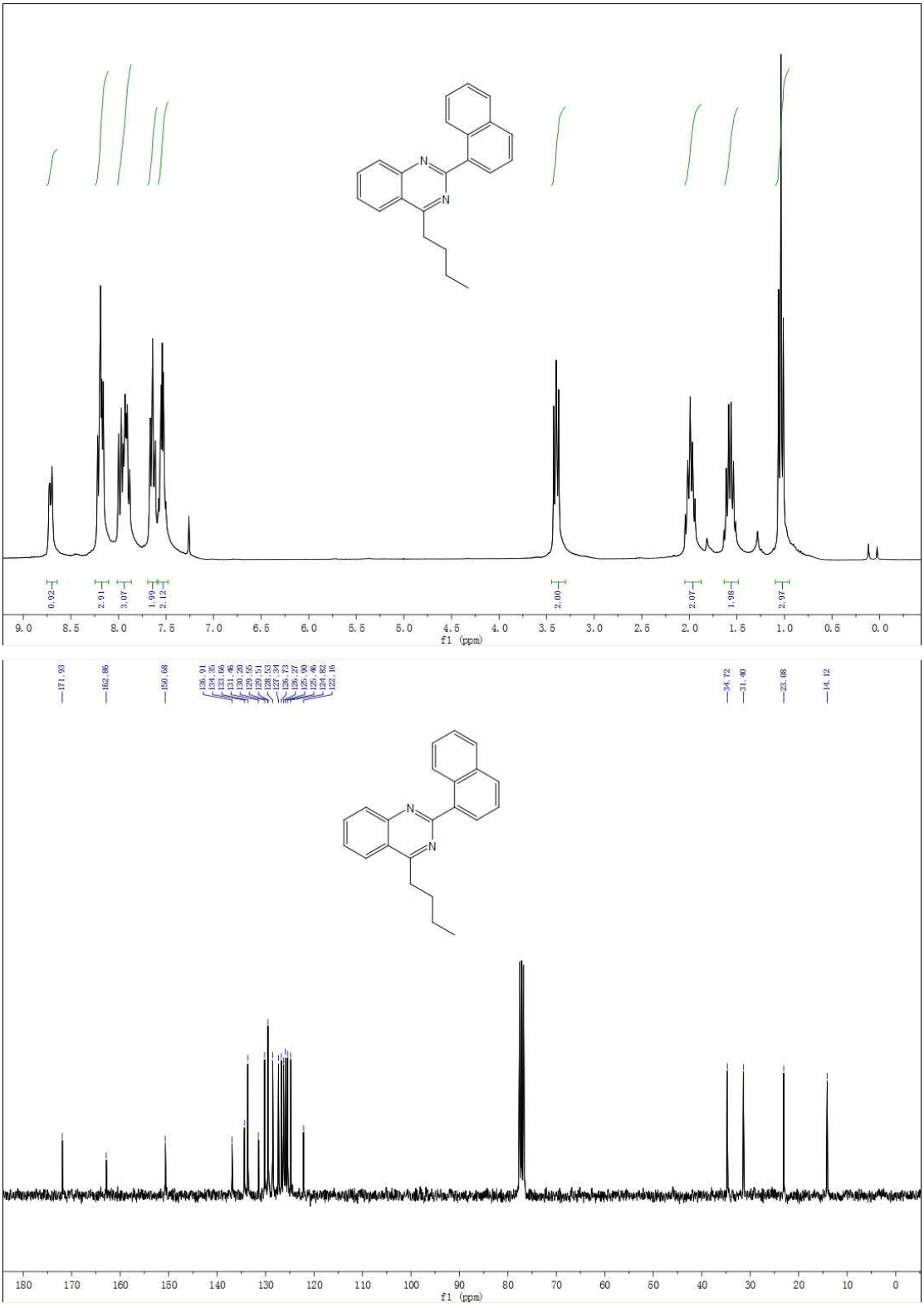


4-**butyl-2-(naphthalen-1-yl)quinazoline** (6c): white solid, 187 mg, yield: 60%.

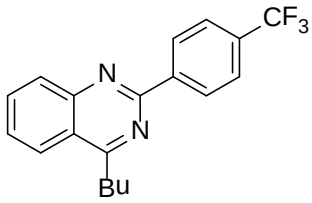
^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.75 - 8.64 (m, 1H), 8.19 (dd, *J* = 10.7, 6.7 Hz, 3H), 8.01 - 7.87 (m, 3H), 7.64 (t, *J* = 7.7 Hz, 2H), 7.58 - 7.48 (m, 2H), 3.40 (t, *J* = 7.8 Hz, 2H), 2.05 - 1.87 (m, 2H), 1.64 - 1.48 (m, 2H), 1.04 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 171.93, 162.86, 150.68, 136.91, 134.35, 133.66, 131.46, 130.20, 129.55, 129.51, 128.53, 127.34, 126.73, 126.27, 125.90, 125.46, 124.82, 122.16, 34.72, 31.40, 23.08, 14.12.

HRMS(ESI): m/z calcd for $C_{22}H_{20}N_2$ $[M+H]^+$: 313.1699; found: 313.1696.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

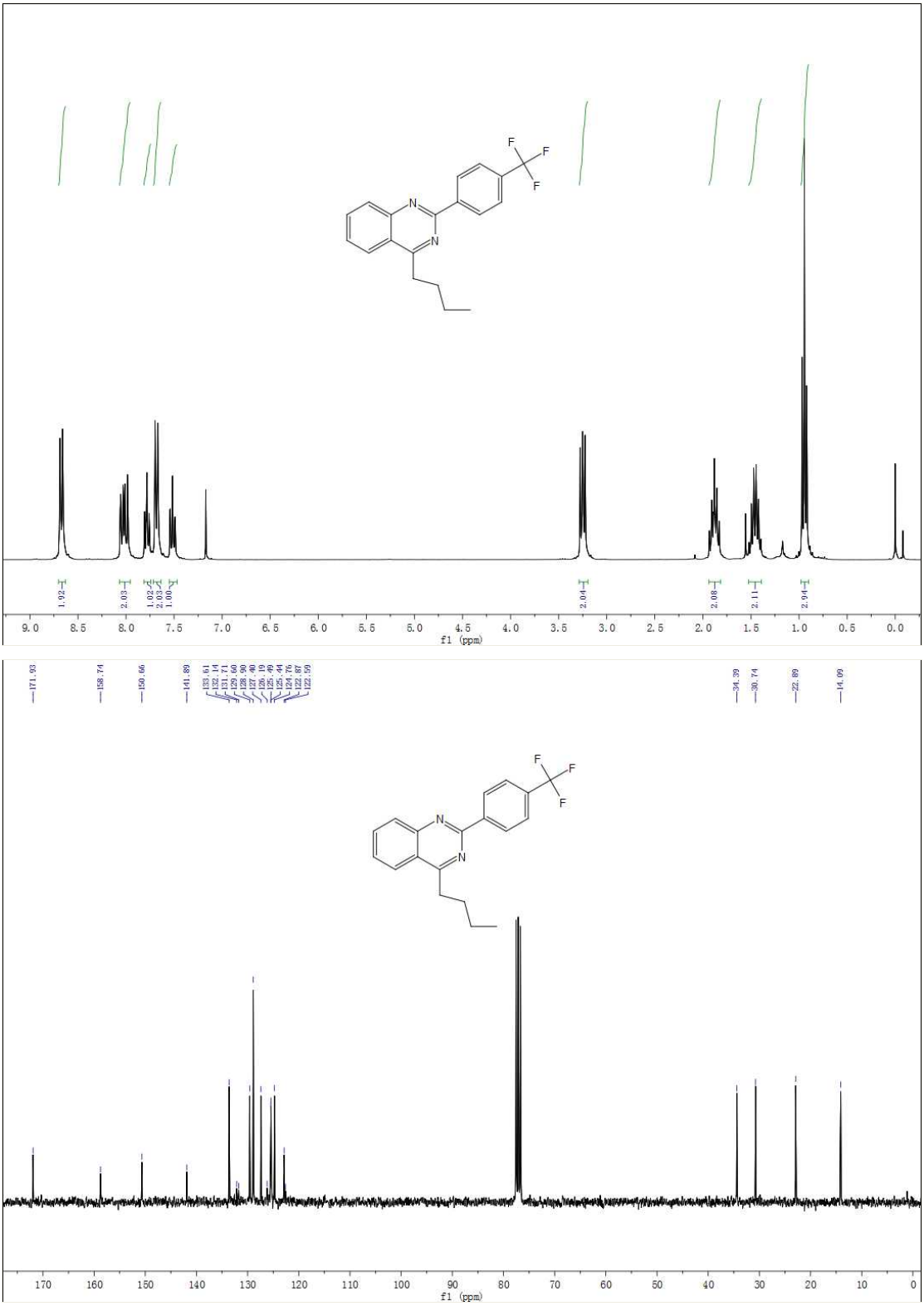


4-butyl-2-(4-(trifluoromethyl)phenyl)quinazoline (6d): white solid, 185 mg, yield: 56%.

^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.67 (d, *J* = 8.0 Hz, 2H), 8.07 - 7.96 (m, 2H), 7.78 (ddd, *J* = 8.4, 6.9, 1.4 Hz, 1H), 7.68 (d, *J* = 8.3 Hz, 2H), 7.52 (ddd, *J* = 8.2, 6.9, 1.2 Hz, 1H), 3.25 (t, *J* = 7.8 Hz, 2H), 1.94 - 1.82 (m, 2H), 1.52 - 1.39 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H).

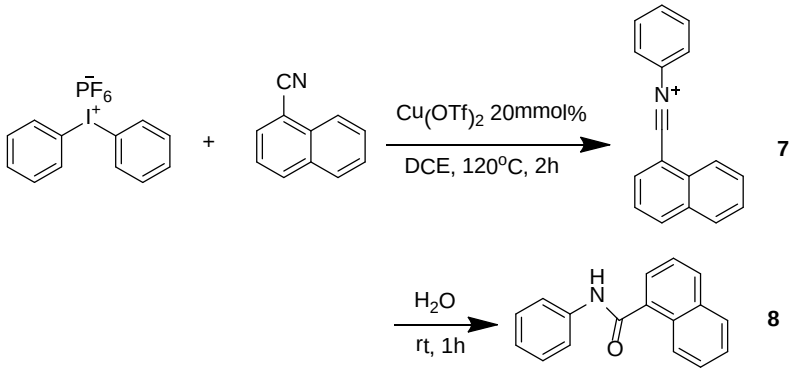
^{13}C NMR (76 MHz, CHLOROFORM-D) δ 171.93, 158.74, 150.66, 141.89, 133.61, 131.93 (q, *J* = 32.1 Hz), 129.60, 128.90(CH×2), 127.40, 125.47 (q, *J* = 3.7 Hz, CH×2), 124.76, 124.39 (q, *J* = 272.2 Hz), 122.87, 34.39, 30.74, 22.89, 14.09.

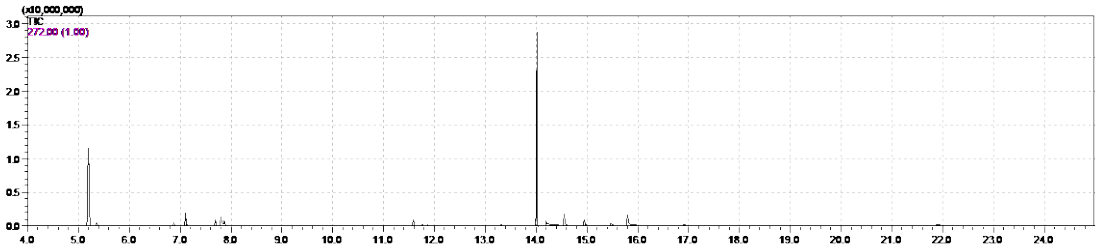
HRMS(ESI): m/z calcd for $C_{19}H_{17}N_2F_3$ $[M+H]^+$: 331.1417; found: 331.1420.



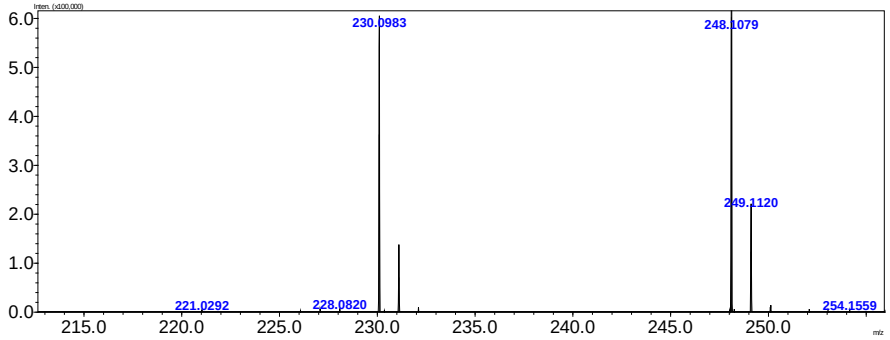
^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

Mechanistic experiment

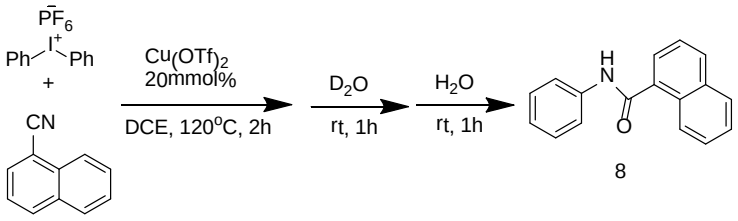


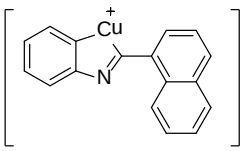


The GC-MS sepctra of the reaction mixture after it was quenched: the peak at 14 min. indicated the formation of **8** (with n-dodecane as internal standard at 5 min.)



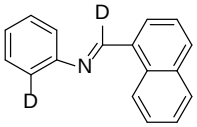
Identification of **7** by HRMS of the reaction mixture: C17H12N+, Exact Mass: 230.0964, Found: 230.0983.





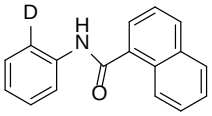
9: not observed

$C_{17}H_{11}CuN^+$
Exact Mass: 292.0182



10: not observed

$C_{17}H_{11}D_2N$
Exact Mass: 233.1174

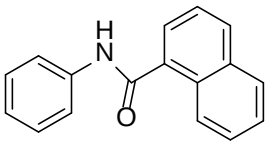


11: not observed

$C_{17}H_{12}DNO$
Exact Mass: 248.1060

A sealed tube was charged with the mixture of $Cu(OTf)_2$ (0.2 mmol, 72.2 mg) and diphenyliodonium hexafluorophosphate (1.0 mmol, 426.1mg). The tube was evacuated and recharged with N_2 for 3 times. Before1-cyanonaphthalene (1.0 mmol, 153.2 mg), and dichloroethane (5.0 mL) were added, the tube was sealed and the mixture was allowed to stir at 120 °C for 2 h. After completion, the mixture was cooled to room temperature, then H_2O (5 mL) was added and the mixture was allowed to stir at room temperature for 1h., extracted with DCM, dried by anhydrous Na_2SO_4. Evaporation of the solvent followed by purification on silica gel (petroleum ether/ ethyl acetate: 10/1) provided **8** as a white solid.

In the preparation of quinazoline **6c**, compound **8** was found in the reaction mixture by GC-MS, around 10% yield.

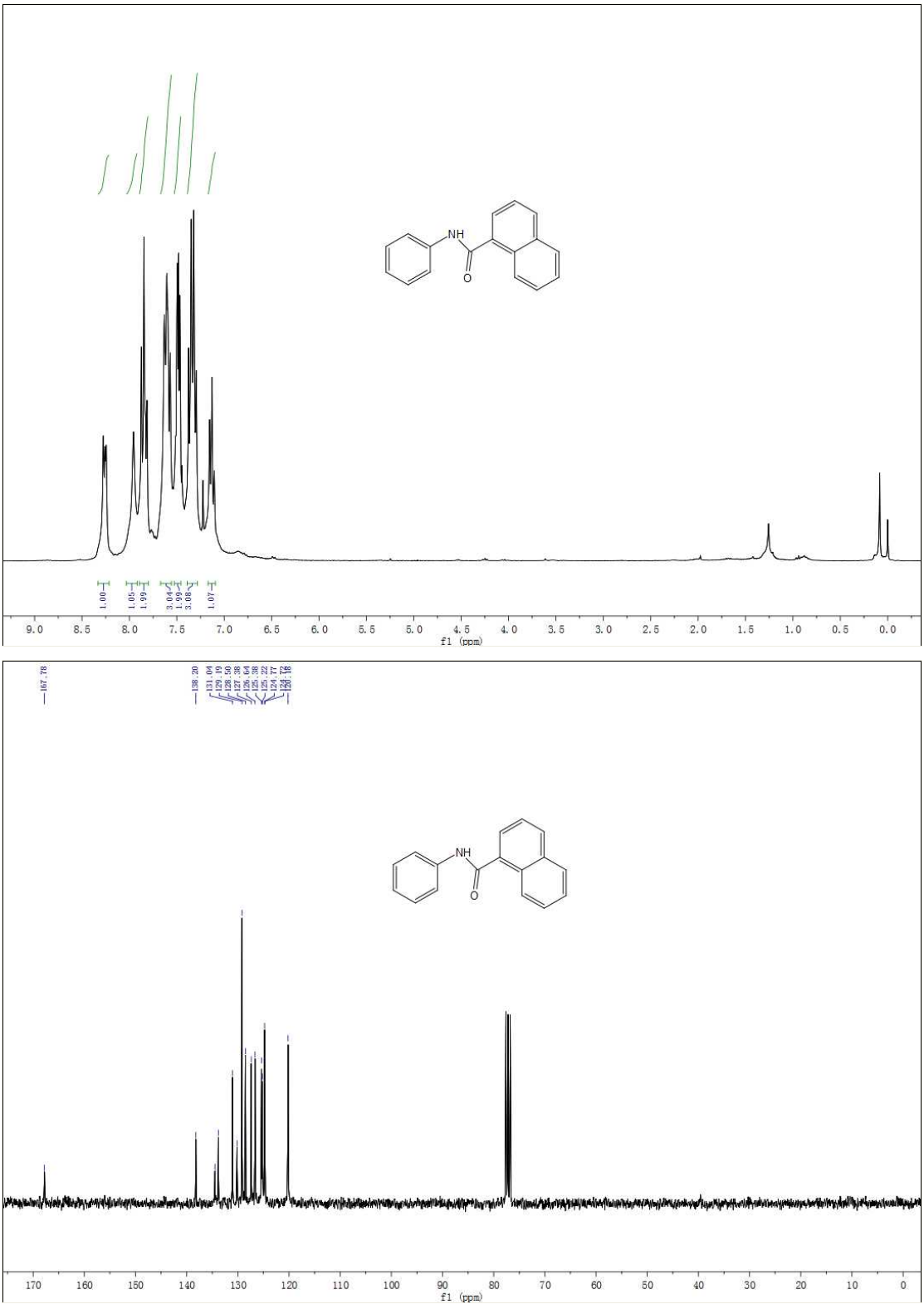


N-phenyl-1-naphthamide 8[8]: white solid, 217 mg, yield: 88%.

^{1}H NMR (301 MHz, CHLOROFORM-D) δ 8.33 - 8.21 (m, 1H), 7.96 (s, 1H), 7.84 (dd, *J* = 11.1, 6.8 Hz, 2H), 7.60 (dd, *J* = 10.9, 7.7 Hz, 3H), 7.49 (dd, *J* = 9.0, 4.9 Hz, 2H), 7.33 (dd, *J* = 16.5, 8.3 Hz, 3H), 7.13 (t, *J* = 7.4 Hz, 1H).

^{13}C NMR (76 MHz, CHLOROFORM-D) δ 167.78, 138.20, 134.48, 133.80, 131.04, 130.15, 129.19 (CH×2), 128.50, 127.38, 126.64, 125.38, 125.22, 124.77, 124.72, 120.18 (CH×2).

GC-MS: m/z calcd for $C_{17}H_{13}NO$: 247.1; found: 247.



^{1}H NMR (301 MHz, $CDCl_3$) (up) and ^{13}C NMR (76 MHz, $CDCl_3$) (down)

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