Electronic Supplementary Material (ESI) for RSC Advances.

Transition-metal and oxidant-free approach for the synthesis of diverse *N*-heterocycles by TMSCl activation of isocyanides

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Supporting Information

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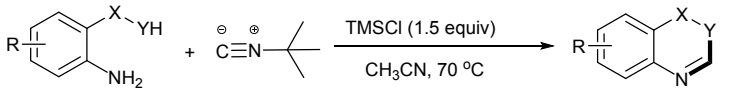
1. General methods

NMR data were obtained for ^{1}H at 400 MHz and for ^{13}C at 100 MHz. Chemical shifts were reported in ppm from tetramethylsilane with the solvent resonance as the internal standard in $CDCl_3$ solution. ESI HRMS was recorded on a Bruker Apex-2. Column chromatography was performed on silica gel (200-300 mesh) eluting with ethyl acetate and petroleum ether. All chemicals were used without purification as commercially available unless otherwise noted. 2-Amino-N-phenylbenzamides substrates (**5a**-**5u**) were prepared according to the literature procedures.[1] The other starting material and reagent were purchased from commercial source and used without further purification.

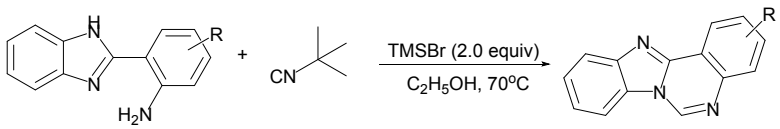
2. General Experimental Procedure A



1a-1b, 4a-4v, 6a-6p **2a** **3a-3b, 5a-5v, 7a-7p**

A mixture of **1a**-**1b** or **4a-4v** or **6a-6p** (0.2 mmol, 1.0 equiv.), *tert*-butyl isocyanide **2a** (0.3 mmol, 1.5 equiv.), TMSCl (0.3 mmol, 1.5 equiv.) in CH_3CN was stirred at 70℃ for 24 h, After completion monitored by TLC (by UV visualization), the solvent was evaporated under reduced pressure and the residue were separated by the flash column chromatography eluted with petroleum ether/ ethyl acetate (v/v 8:1) to afford the desired product **1a**-**1b**, **3a-3v, 5a-5p**.

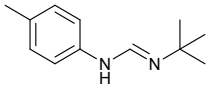
3. General Experimental Procedure B



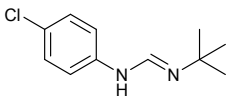
6r-6s **2a** **7r-7s**

A mixture of **6r or 6s** (0.2 mmol, 1.0 equiv.), tert-butyl isocyanide 2a (0.3 mmol, 1.5 equiv.), TMSBr (0.4 mmol, 2.0 equiv.) in C_2H_5OH was stirred at 70 °C for 24 h, After completion monitored by TLC (by UV visualization), the solvent was evaporated under reduced pressure and the residue were separated by the flash column chromatography eluted with petroleum ether/ ethyl acetate (v/v:1) to afford the desired product **7r or 7s.**

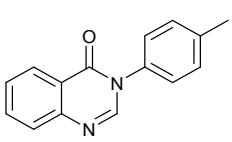
4. Analytical data for compounds



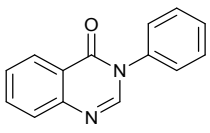
(*E*)-*N*'-tert-butyl-*N*-(*p*-tolyl)formimidamide (3a) The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (85 mg, yield = 90 %). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.93 (s, 1H), 7.14 (d, *J* = 8.2 Hz, 2H), 7.06 (d, *J* = 8.3 Hz, 2H), 2.30 (s, 2H), 1.44 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 150.31, 136.80, 135.62, 130.21, 119.10, 54.25, 29.76, 20.81. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{12}H_{19}N_2^+$) 191.1543, found 191.1544.



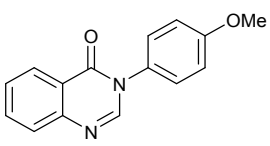
(*E*)-*N*'-tert-butyl-*N*-(4-chlorophenyl)formimidamide (3b) The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (96 mg, yield = 92%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.67 (s, 1H), 7.22 (d, *J* = 8.6 Hz, 2H), 6.87 (d, *J* = 8.6 Hz, 2H), 1.33 (s, 9H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 150.55, 149.72, 129.07, 127.99, 122.13, 51.07, 30.64. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{11}H_{16}ClN_2^+$) 211.0997, found 211.0998



3-(*p*-tolyl)quinazolin-4(3*H*)-one (5a)[1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a light yellow solid (41 mg, yield = 88%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.43 (d, *J* = 7.0 Hz, 1H), 8.18 (d, *J* = 4.1 Hz, 1H), 7.85 (d, *J* = 6.3 Hz, 2H), 7.61 (d, *J* = 4.5 Hz, 1H), 7.48 – 7.35 (m, 4H), 2.51 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.96, 148.00, 146.7, 139.31, 135.01, 134.58, 130.31, 127.54, 127.25, 126.82, 122.50, 21.24. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{15}H_{13}N_2O^+$) 237.1022, found 237.1023.

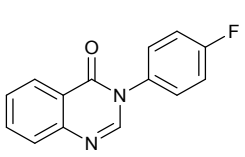


3-phenylquinazolin-4(3*H*)-one (5b) [1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (38 mg, yield = 86%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.40 (d, *J* = 8.1 Hz, 1H), 8.15 (s, 1H), 7.87 – 7.74 (m, 2H), 7.62-7.49 (m, 4H), 7.45 (d, *J* = 7.1 Hz, 2H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 162.61, 149.73, 147.94, 139.35, 136.43, 131.49, 130.96, 129.50, 129.44, 129.03, 128.86, 124.24. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_{14}H_{10}N_2NaO^+$) 245.0685, found 245.0680.

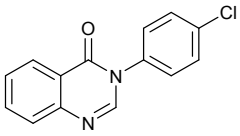


3-(4-methoxyphenyl)quinazolin-4(3*H*)-one (5c)[1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a light yellow solid (41mg, yield =83%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.39 (d, *J* = 7.5 Hz, 1H), 8.14 (s, 1H), 7.89-7.74 (m, 2H), 7.61 -7.53 (m, 1H), 7.36 (d, *J* = 8.8 Hz, 2H), 7.07 (d, *J* = 8.8 Hz, 2H), 3.90 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.1, 160.0, 148.0, 146.5, 134.5, 130.2, 128.2, 127.6,

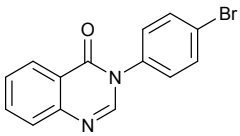
127.2, 122.4, 114.9, 55.6. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+(C_{15}H_{13}N_2O_2^+)$ 253.0972, found 253.0965.



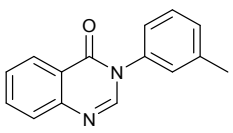
3-(4-fluorophenyl)quinazolin-4(3*H*)-one (5d)[1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (42 mg, yield = 88%). **^{1}H NMR** (400 MHz, $CDCL_3$) δ 8.38 (d, *J* = 7.9 Hz, 1H), 8.12 (s, 1H), 7.88 – 7.77 (m, 2H), 7.59 (d, *J* = 7.5 Hz, 1H), 7.41-7.35 (m, 2H), 7.34-7.30 (m, 2H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.88, 162.62(d, *J*=248Hz), 161.40, 160.83, 147.85, 145.86, 134.74, 133.40 (d, *J*=3Hz),128.95(d, *J*=9Hz), 127.82, 127.68, 127.18, 122.27, 116.71(d, *J*=23Hz), 77.38, 77.06, 76.75. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_{14}H_9FN_2NaO^+$) 263.0591, found 263.0584.



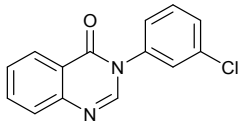
3-(4-chlorophenyl)quinazolin-4(3*H*)-one (5e)[1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (43 mg, yield = 85%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 7.9 Hz, 1H), 8.09 (s, 1H), 7.78 – 7.68 (m, 2H), 7.38(d, *J* = 8.1 Hz, 2H) 7.31 (d, *J* = 8.5 Hz, 2H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.67, 147.81, 145.60, 135.92, 135.22, 134.81, 129.91, 128.37, 127.88, 127.72, 127.23, 122.25. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{14}H_{10}ClN_2O^+$) 257.0476, found 257.0479.



3-(4-bromophenyl)quinazolin-4(3*H*)-one (5f)[1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (48 mg, yield = 80%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 8.0 Hz, 1H), 8.09 (s, 1H), 7.87 – 7.73 (m, 2H), 7.69 (d, *J* = 8.6 Hz, 2H), 7.64-7.54(m, 1H), 7.32 (d, *J* = 8.6 Hz, 2H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.61, 147.80, 145.51, 136.44, 134.82, 132.91, 128.66, 127.90, 127.72, 127.23, 123.23, 122.24. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{14}H_{10}BrN_2O^+$) 300.9977, found 300.9969.

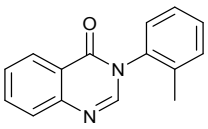


3-(*m*-tolyl)quinazolin-4(3*H*)-one (5g)[2] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (40 mg, yield = 85%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.37 (d, *J* = 7.6 Hz, 1H), 8.11 (s, 1H), 7.85 – 7.72 (m, 2H), 7.60-7.49 (m, 1H), 7.48-7.38 (m, 1H), 7.30 (d, *J* = 7.6 Hz, 1H), 7.25 – 7.18 (m, 2H), 2.44 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.85, 147.95, 146.22, 139.84, 137.46, 134.55, 129.95, 129.49, 127.67, 127.62, 127.20, 124.01, 122.45,21.37. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+(C_{15}H_{13}N_2O^+)$ 237.1022, found 237.1016.

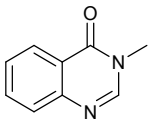


3-(3-chlorophenyl)quinazolin-4(3*H*)-one (5h)[3] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (44 mg, yield = 87%). **^{1}H NMR (**400 MHz, $CDCl_3$) δ 8.39 (d, *J* = 7.7 Hz, 1H), 8.13 (d, *J* = 5.7 Hz, 1H), 7.88-

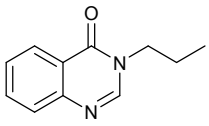
7.76 (m, 2H), 7.65-7.56 (m, 1H), 7.55-7.46 (m, 3H), 7.36 (d, *J* = 3.2 Hz, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.56, 147.77, 145.46, 138.46, 135.30, 134.86, 130.66, 129.49, 127.93, 127.74, 127.54, 127.25, 125.33, 122.24. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{14}H_{10}ClN_2O^+$)257.0476, found 257.0479.



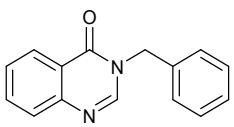
3-(*o*-tolyl)quinazolin-4(3*H*)-one (5i)[2] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (33 mg, yield = 70%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.38 (d, *J* = 8.1 Hz, 1H), 8.00 (s, 1H), 7.71-7.84 (m, 2H), 7.62 – 7.50 (m, 1H), 7.46 – 7.34 (m, 3H), 7.25 (d, *J* = 6.4 Hz, 1H), 2.21 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.49, 148.17, 146.40, 136.70, 135.88, 134.60, 131.40, 129.80, 127.89, 127.67, 127.63, 127.39, 127.22, 122.49,17.76. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_{15}H_{12}N_2NaO^+$) 259.0842 found 259.0831.



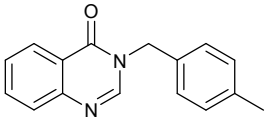
3-methylquinazolin-4(3*H*)-one (5j)[4] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (27 mg, yield = 85%).**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.30 (dd, *J* = 8.0, 0.8 Hz, 1H), 8.03 (s, 1H), 7.79 – 7.65 (m, 2H), 7.54 – 7.43 (m, 1H), 3.58 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.60, 148.29, 146.79, 134.18, 127.47, 127.32, 126.55, 122.00, 34.06. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_9H_8N_2NaO^+$) 183.0529, found 183.0534.



3-propylquinazolin-4(3*H*)-one (5k)[1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (31 mg, yield = 84%).**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 8.0 Hz, 1H), 8.05 (s, 1H), 7.81 – 7.72 (m, 2H), 7.56-7.48 (m, 1H), 3.99 (t, *J* = 7.3 Hz, 2H), 1.92 – 1.81 (m, 2H), 1.03 (t, *J* = 7.4 Hz, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.11, 148.17, 146.63, 134.15, 127.43, 127.23, 126.73, 122.23, 48.61, 22.67, 11.14. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_{11}H_{13}N_2O^+$) 189.1022, found 189.1018.

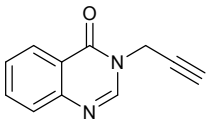


3-benzylquinazolin-4(3*H*)-one (5l)[1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (42 mg, yield = 90%).**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 8.0 Hz, 1H), 8.13 (s, 1H), 7.82 – 7.69 (m, 2H), 7.57-7.49 (m, 1H), 7.42 – 7.33 (m, 5H), 5.23 (s, 2H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.11, 148.08, 146.36, 135.77, 134.34, 129.06, 128.35, 128.04, 127.57, 127.41, 126.92, 122.25, 49.63. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{15}H_{13}N_2O^+$) 237.1022 found 237.1015.

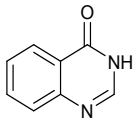


3-(4-methylbenzyl)quinazolin-4(3*H*)-one (5m)[5] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (42 mg, yield = 85%).**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.35 (d, *J* = 8.0 Hz, 1H), 8.13

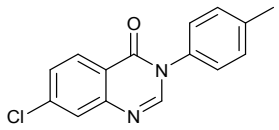
(s, 1H), 7.81 – 7.69 (m, 2H), 7.58-7.49 (m, 1H), 7.28 (d, *J* = 7.4 Hz, 2H), 7.18 (d, *J* = 7.8 Hz, 2H), 5.19 (s, 2H), 2.35 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.12, 148.06, 146.38, 138.21, 134.30, 132.74, 129.72, 128.09, 127.51, 127.37, 126.91, 122.26, 49.45, 21.15. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{16}H_{15}N_2O^+$) 251.1179, found 251.1185.



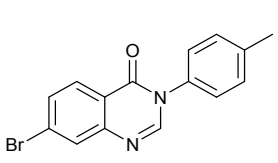
3-(prop-2-yn-1-yl)quinazolin-4(3*H*)-one (5n)[1] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (32 mg, yield = 87%).**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.34 (d, *J* = 10.1 Hz, 2H), 7.85-7.71 (m, 2H), 7.61 – 7.49 (m, 1H), 4.85 (d, *J* = 2.5 Hz, 2H), 2.52 (t, *J* = 2.5 Hz, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.44, 147.97, 145.04, 134.56, 127.65, 127.58, 126.84, 121.81, 75.16, 35.21. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{11}H_9N_2O^+$) 185.0709, found 185.0721.



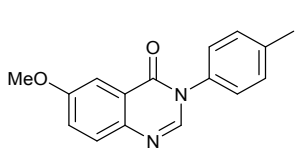
quinazolin-4(3*H*)-one (5o)[4] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (26 mg, yield = 90%).**^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.15 (s, 1H), 8.12 (s, 1H), 7.83-7.76 (m, 1H), 7.67 (d, *J* = 8.1 Hz, 1H), 7.56-7.47 (m, 1H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 161.34, 149.21, 146.01, 134.73, 127.62, 127.15, 126.30, 123.09. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_8H_6N_2NaO^+$) 169.0372, found 169.0374.



7-chloro-3-(p-tolyl)quinazolin-4(3*H*)-one (5p)[6] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (48 mg, yield = 90%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29 (d, *J* = 8.5 Hz, 1H), 8.11 (s, 1H), 7.75 (d, *J* = 1.6 Hz, 1H), 7.49 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 2H), 7.29 (d, *J* = 8.3 Hz, 2H), 2.44 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.34, 148.93, 147.49, 140.80, 139.51, 134.62, 130.34, 128.70, 128.23, 127.19, 126.68, 120.90, 21.24. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{15}H_{12}ClN_2O^+$) 271.0633, found 271.0623.

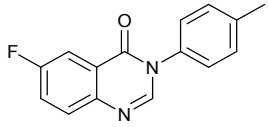


7-bromo-3-(*p*-tolyl)quinazolin-4(3*H*)-one (5q) The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (55 mg, yield = 88%).**^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (d, *J* = 8.5 Hz, 1H), 8.11 (s, 1H), 7.94 (d, *J* = 1.6 Hz, 1H), 7.65 (dd, *J* = 8.5, 1.7 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 2H), 7.29 (d, *J* = 8.3 Hz, 2H), 2.44 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.46, 148.97, 147.43, 139.51, 134.63, 130.99, 130.37, 130.34, 129.28, 128.69, 126.66, 121.28, 21.24. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{15}H_{11}BrN_2NaO^+$) 336.9947, found 336.9951.

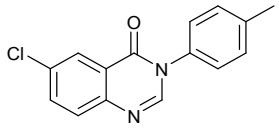


6-methoxy-3-(*p*-tolyl)quinazolin-4(3*H*)-one (5r)[7] The title compound was

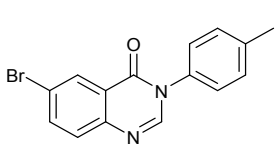
prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (47 mg, yield = 90%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.75 (d, *J* = 2.9 Hz, 1H), 7.72 (d, *J* = 8.9 Hz, 1H), 7.41 (dd, *J* = 8.9, 2.9 Hz, 1H), 7.37 (d, *J* = 8.2 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 3.95 (s, 3H), 2.47 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.80, 159.01, 144.24, 142.49, 139.19, 135.12, 130.25, 129.15, 126.78, 124.64, 123.29, 106.64, 55.91, 21.24. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{16}H_{15}N_2O_2^+$) 267.1128, found 267.1122.



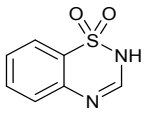
6-fluoro-3-(*p*-tolyl)quinazolin-4(3*H*)-one (5s) The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a light yellow solid (46 mg, yield = 92%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 8.05-7.99 (m, 1H), 7.83-7.75 (m, 1H), 7.59-7.49 (m, 1H), 7.38 (d, *J* = 8.2 Hz, 2H), 7.32 (d, *J* = 8.3 Hz, 2H), 2.47 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 162.64, 160.17, 145.65 (d, *J* = 3Hz), 144.60, 139.47, 134.70, 130.34, 130.45 (d, *J* = 91 Hz), 126.69, 123.85, 123.76, 123.07 (d, *J* = 24Hz), 112.12 (d, *J* = 24Hz), 21.24. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{15}H_{12}FN_2O^+$) 255.0928, found 255.0928.



6-chloro-3-(*p*-tolyl)quinazolin-4(3H)-one (5t)[8] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (50 mg, yield = 93%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.35 (d, J = 1.4 Hz, 1H), 8.12 (s, 1H), 7.80 – 7.69 (m, 2H), 7.38 (d, J = 8.1 Hz, 2H), 7.31 (d, J = 8.3 Hz, 2H), 2.47 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.91, 146.49, 139.52, 134.95, 134.65, 133.51, 130.35, 129.26, 126.67, 126.57, 123.52, 21.23. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{15}H_{12}ClN_2O^+$) 271.0633, found 271.0631.

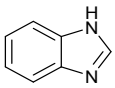


6-bromo-3-(*p*-tolyl)quinazolin-4(3*H*)-one (5u)[9] The title compound was prepared according to the general procedure A on a 0.1 mmol scale to obtain as a white solid (56 mg, yield = 89%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.52 (s, 1H), 8.14 (s, 1H), 7.90 (d, *J* = 8.6 Hz, 1H), 7.66 (d, *J* = 8.5 Hz, 1H), 7.38 (d, *J* = 7.7 Hz, 2H), 7.31 (d, *J* = 7.9 Hz, 2H), 2.47 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.77, 146.80, 146.64, 139.54, 137.74, 134.63, 130.37, 129.75, 129.41, 126.66, 123.83, 121.28, 21.24. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{15}H_{12}BrN_2O^+$) 315.0128, found 315.0124.

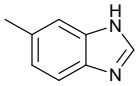


2*H*-benzo[*e*][1,2,4]thiadiazine 1,1-dioxide (5v)[10] The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (32 mg, yield = 90%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.01(s, 1H), 7.84 (d, *J* = 7.9 Hz, 1H), 7.73-7.63 (m, 1H), 7.51-7.44 (m, 1H), 7.34 (d, *J* = 8.3 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 148.15, 135.13,

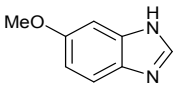
133.59, 127.16, 124.16, 122.99, 117.99. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_7H_6N_2NaO_2S^+$) 205.0042, found 205.0034.



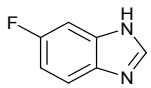
1*H*-benzo[*d*]imidazole (7a)[1] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (36 mg, yield = 61%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.68 (s, 2H), 7.51 – 7.09 (m, 2H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 142.43, 138.54, 122.18, 115.80. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_7H_7N_2^+$) 119.0604, found 119.0605.



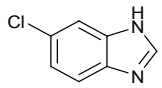
6-methyl-1*H*-benzo[d]imidazole (7b)[11] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (46 mg, yield = 70%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.04 (s, 1H), 7.56 (d, *J* = 8.2 Hz, 1H), 7.44 (s, 1H), 7.12 (d, *J* = 8.2 Hz, 1H), 2.48 (s, 3H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 142.06, 138.19, 131.30, 123.63, 115.80, 115.04, 21.70.**HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_8H_9N_2^+$) 133.0760, found 133.0760.



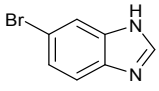
6-methoxy-1*H*-benzo[d]imidazole (7c)[12]The title compound was prepared according to the general procedure A on a 0.2 mmol scale to obtain as a white solid (49 mg, yield = 67 %). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.07 (s, 1H), 7.56 (d, *J* = 8.8 Hz, 1H), 7.10 (s, 1H), 6.93 (dd, *J* = 8.8, 2.0 Hz, 1H), 3.81 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 156.64, 140.60, 137.78, 133.11, 116.53, 112.65, 97.61, 55.84. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_8H_9N_2O^+$)149.0709, found 149.0712.



6-fluoro-1*H*-benzo[*d*]imidazole (7d)[12] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (51 mg, yield = 75 %). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.14 (s, 1H), 7.59 (d, *J* = 3.3 Hz, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.03-6.95(m, 1H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 160.08, 157.76, 143.84, 143.83, 135.23, 116.49, 110.46, 110.21, 101.58. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_7H_6FN_2^+$)137.0510, found 137.0513.

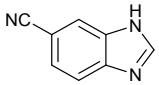


6-chloro-1*H*-benzo[*d*]imidazole (7e)[1] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (55 mg, yield = 72%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.57 (d, *J* = 1.7 Hz, 1H), 7.49 (d, *J* = 8.6 Hz, 1H), 7.24 – 7.12 (m, 1H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 143.93, 139.77, 137.08, 126.62, 122.48, 116.87, 115.67. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_7H_6ClN_2^+$)153.0214, found 153.0214.

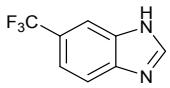


6-bromo-1*H*-benzo[*d*]imidazole (7f)[12] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (68 mg, yield = 70%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.16 (s, 1H), 7.82 (d, *J* = 1.5 Hz, 1H), 7.53 (d, *J* = 8.6 Hz, 1H), 7.40 (dd, *J* = 8.6, 1.8 Hz, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 141.58, 138.75, 136.55, 126.25, 118.48, 116.71, 116.10. **HRMS**

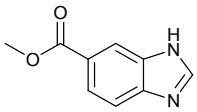
(ESI, MeOH): calcd. For $[M+H]^+$($C_7H_6BrN_2^+$)196.9709, found 196.9702.



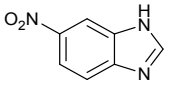
1*H*-benzo[*d*]imidazole-5-carbonitrile (7g)[13] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (63 mg, yield = 88%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.49 (s, 1H), 8.17 (s, 1H), 7.77 (d, *J* = 8.3 Hz, 1H), 7.60 (d, *J* = 8.3 Hz, 1H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 145.86, 140.67, 139.36, 125.71, 121.82, 120.50, 116.40, 104.18. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_8H_5N_3Na^+$)166.0376, found 166.0376.



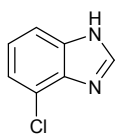
6-(trifluoromethyl)-1*H*-benzo[*d*]imidazole (7h)[12] The title compound was prepared according to the general procedure B on a 0.2 mmol scale to obtain as a white solid (79 mg, yield = 85%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.49 (s, 1H), 8.02 (d, *J* = 0.7 Hz, 1H), 7.82 (d, *J* = 8.5 Hz, 1H), 7.54 (dd, *J* = 8.5, 1.4 Hz, 1H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 145.25, 140.06, 139.00, 125.56 (q, *J* = 270 Hz), 122.97 (q, *J* = 31 Hz), 118.95 (q, *J* = 4Hz), 116.01, 114.06. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_8H_6F_3N_2^+$)187.0478, found 187.0476.



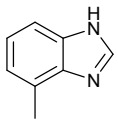
1*H*-benzo[*d*]imidazole-6-carboxylate (7i)[1] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (61 mg, yield = 70%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.42 (s, 1H), 8.26 (s, 1H), 8.01 (d, *J* = 8.5 Hz, 1H), 7.67 (d, *J* = 8.5 Hz, 1H), 3.93 (s, 3H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 167.30, 145.23, 141.65, 138.91, 123.60, 123.34, 118.10, 115.41, 52.43. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_9H_9N_2O_2^+$)177.0659, found 177.0657.



6-nitro-1*H*-benzo[*d*]imidazole (7j)[1] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (73 mg, yield = 90%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.54 (s, 1H), 8.50 (d, *J* = 2.2 Hz, 1H), 8.10 (dd, *J* = 8.9, 2.3 Hz, 1H), 7.75 (d, *J* = 8.9 Hz, 1H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 147.66, 142.93, 142.52, 139.30, 117.87, 115.37, 113.20. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_7H_6N_3O_2^+$)164.0455, found 164.0453.

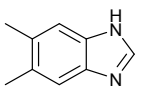


7-chloro-1*H*-benzo[*d*]imidazole (7k)[14] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (59 mg, yield = 78%).**^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.34 (s, 1H), 7.57 (d, *J* = 7.9 Hz, 1H), 7.28 (d, *J* = 7.6 Hz, 1H), 7.21 (t, *J* = 7.8 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 143.41, 138.06, 137.77, 123.33, 121.80, 121.46, 113.35, **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_7H_5ClN_2Na^+$)175.0033, found 175.0036.

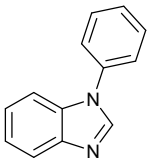


4-methyl-1*H*-benzo[*d*]imidazole (7l)[1] The title compound was prepared according to the

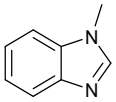
general procedure A on a 0.5 mmol scale to obtain as a white solid (53 mg, yield = 80%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.17 (s, 1H), 7.52 (d, *J* = 8.0 Hz, 1H), 7.23 (m, 1H), 7.12 (d, *J* = 7.2 Hz, 1H), 2.64 (s, 3H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 135.73, 133.06, 132.46, 121.17, 118.49, 118.17, 107.95, 12.53. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+(C_8H_9N_2^+)$133.0760, found 133.0765.



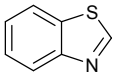
5,6-dimethyl-1*H*-benzo[*d*]imidazole (7m)[1] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (44 mg, yield = 60%). **^{1}H NMR** (400 MHz, DMSO-d_6) δ 8.05 (s, 1H), 7.35 (s, 2H), 2.30 (s, 6H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 141.44, 130.48, 115.15, 20.43. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+(C_9H_{11}N_2^+)$ 147.0917, found 147.0919.



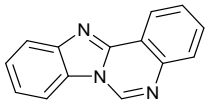
1-phenyl-1*H*-benzo[*d*]imidazole (7n)[11] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (81 mg, yield = 84%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.11 (s, 1H), 7.89 (dd, *J* = 5.7, 2.8 Hz, 1H), 7.62 – 7.43 (m, 6H), 7.37-7.28 (m, 2H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 144.09, 142.29, 136.38, 133.72, 130.06, 128.04, 124.06, 123.71, 122.81, 120.62, 110.48. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+(C_{13}H_{11}N_2^+)$195.0917, found 195.0913.



1-methyl-1*H*-benzo[*d*]imidazole (7o)[11] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a white solid (53 mg, yield = 80%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.77 (s, 1H), 7.75 – 7.70 (m, 1H), 7.31 (dd, *J* = 6.7, 1.4 Hz, 1H), 7.28 – 7.20 (m, 2H), 3.75 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 143.72, 143.54, 134.54, 122.94, 122.10, 120.28, 109.35, 77.39, 77.08, 76.76, 31.02. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+(C_8H_9N_2^+)$133.0760, found 133.0768.

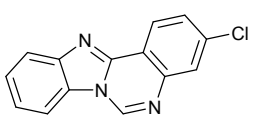


benzo[*d*]thiazole (7p)[12] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a liquid (62 mg, yield = 92%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.99 (s, 1H), 8.15 (d, *J* = 8.1 Hz, 1H), 7.96 (d, *J* = 8.0 Hz, 1H), 7.54 – 7.50 (m, 1H), 7.46 – 7.42 (m, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 153.94, 153.21, 133.70, 126.21, 125.58, 123.65, 121.91. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+(C_7H_6NS^+)$ 136.0215, found 136.0217.

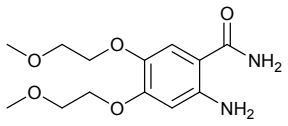


benzo[4,5]imidazo[1,2-*c*]quinazoline(7r)[15] The title compound was prepared according to the general procedure B on a 0.5 mmol scale to obtain as a liquid white solid (96 mg, yield = 88%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.07 (s, 1H), 8.67 – 8.55 (m, 1H), 7.98 – 7.85 (m, 3H), 7.77 – 7.68 (m, 1H), 7.66 – 7.59 (m, 1H), 7.53-7.48 (m, 1H), 7.45 – 7.37 (m, 1H); **^{13}C NMR** (101 MHz,

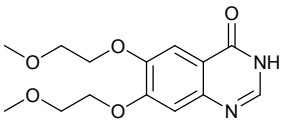
$CDCl_3$) δ 146.38, 144.02, 142.58, 136.15, 131.82, 128.70, 128.53, 128.16, 126.20, 124.23, 123.32, 120.32, 119.33, 110.10. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{14}H_{10}N_3^+$) 220.0869, found 220.0868.



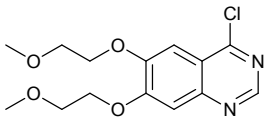
2-chlorobenzo[4,5]imidazo[1,2-*c*]quinazoline (7s) The title compound was prepared according to the general procedure B on a 0.5 mmol scale to obtain as a white solid (57 mg, yield = 45 %). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.08 (s, 1H), 8.55 (d, *J* = 8.5 Hz, 1H), 8.00-7.83 (m, 3H), 7.60 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.53 (t, *J* = 7.6 Hz, 1H), 7.44 (t, *J* = 7.4 Hz, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 145.72, 143.95, 143.43, 137.76, 137.14, 129.27, 128.19, 128.05, 126.50, 125.48, 123.65, 120.39, 117.76, 110.17. **HRMS** (ESI, MeOH): calcd. For $[M+H]^+$($C_{14}H_9ClN_3^+$) 254.0480, found 254.0469.



2-amino-4,5-bis(2-methoxyethoxy)benzamide (8)[10] The compound was synthesized according to the literature procedures.[16] on a 1 mmol scale to obtain as a white solid (241 mg, yield = 85%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 6.97 (s, 1H), 6.09 (s, 1H), 5.78 (s, 2H), 4.06 – 4.01 (m, 2H), 4.01 – 3.98 (m, 2H), 3.74 – 3.66 (m, 2H), 3.65 – 3.57 (m, 2H), 3.36 (s, 3H), 3.35 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 171.20, 154.48, 146.91, 139.26, 117.66, 105.75, 101.74, 71.37, 70.87, 70.73, 67.87, 59.16, 59.02. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_{13}H_{20}N_2NaO_5^+$) 307.1264, found 307.1266.

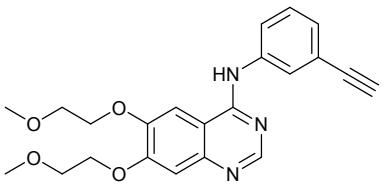


6,7-bis(2-methoxyethoxy)quinazolin-4(3*H*)-one (9)[10] The title compound was prepared according to the general procedure A on a 0.5 mmol scale to obtain as a light yellow solid (135 mg, yield = 92%).**^{1}H NMR** (400 MHz, DMSO) δ 7.98 (s, 1H), 7.47 (s, 1H), 7.16 (s, 1H), 4.28 – 4.23 (m, 2H), 4.22 – 4.18 (m, 2H), 3.72 (m, 4H), 3.34 (s, 6H); **^{13}C NMR** (101 MHz, DMSO-d_6) δ 160.51, 154.32, 148.25, 145.33, 144.37, 116.13, 109.54, 106.92, 70.62, 70.54, 68.61, 68.57, 58.79, 58.77. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_{14}H_{18}N_2NaO_5^+$) 317.1108, found 317.1105.



1-chloro-6,7-bis(2-methoxyethoxy)quinazoline (10)[10] **9** (147 mg, 0.5 mmol) was slowly added to a solution of phosphoryl chloride (1 mL) and *N*,*N*-diethylaniline (74 mg, 0.5 mmol). This mixture was heated at 90 °C for 2 h and was then cooled to room temperature. Most of the excess of phosphoryl chloride was removed under reduced pressure and the dark oil was purified by flash column chromatography with hexanes/ethyl acetate to afford the corresponding product.[10] The title compound was obtained as a white solid (131 mg, yield = 82%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.85 (s, 1H), 7.43 (s, 1H), 7.33 (s, 1H), 4.26 (td, *J* = 4.7, 1.3 Hz, 4H), 3.82 (td, *J* = 4.7, 1.6 Hz, 4H)，3.43 (s, 3H), 3.42 (s, 3H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.11,

156.40, 152.44, 150.97, 148.95, 119.54, 107.69, 104.12, 70.56, 70.35, 68.90, 68.84, 59.42. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_{14}H_{17}ClN_2O_4Na^+$) 335.0769, found 335.0784.



***N*-(3-ethynylphenyl)-6,7-bis(2-methoxyethoxy)quinazolin-4-amine (11, Erlotinib)[10]** A solution of **10** (96 mg, 0.3 mmol) in *i*-PrOH (2 mL) was added drop wise to a solution of pyridine (26 mg, 0.33 mmol) and 3-ethynylphenylamine (38 mg, 0.33 mmol) in *i*-PrOH (2 mL). This mixture was heated at reflux for 4 h under nitrogen and was then cooled to room temperature. The precipitate was filtered and crystallized from methanol to afford a **11·HCl** product. **11·HCl** product was dissolved in water, basified using conc. aq. ammonia, and extracted with ethyl acetate and concentrated in vacuo to give free **11**. The title compound was obtained as a white solid (106 mg, yield = 90%). **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.65 (s, 1H), 7.88 (s, 1H), 7.78 (d, *J* = 7.9 Hz, 1H), 7.56 (s, 1H), 7.36 (t, *J* = 7.9 Hz, 1H), 7.32 – 7.25 (m, 3H), 4.33 – 4.28 (m, 2H), 4.28 – 4.21 (m, 2H), 3.92 – 3.79 (m, 4H), 3.48 (s, 3H), 3.47 (s, 3H), 3.11 (s, 1H); **^{13}C NMR** (101 MHz, $CDCl_3$) δ 156.37, 154.47, 153.50, 148.76, 147.34, 138.88, 128.99, 127.77, 125.15, 122.78, 122.42, 109.17, 108.51, 102.50, 83.40, 77.47, 77.37, 77.05, 76.73, 70.92, 70.38, 69.02, 68.23, 59.29, 59.21. **HRMS** (ESI, MeOH): calcd. For $[M+Na]^+$($C_{22}H_{23}N_3NaO_4^+$) 416.1581, found 416.1596.

5. References

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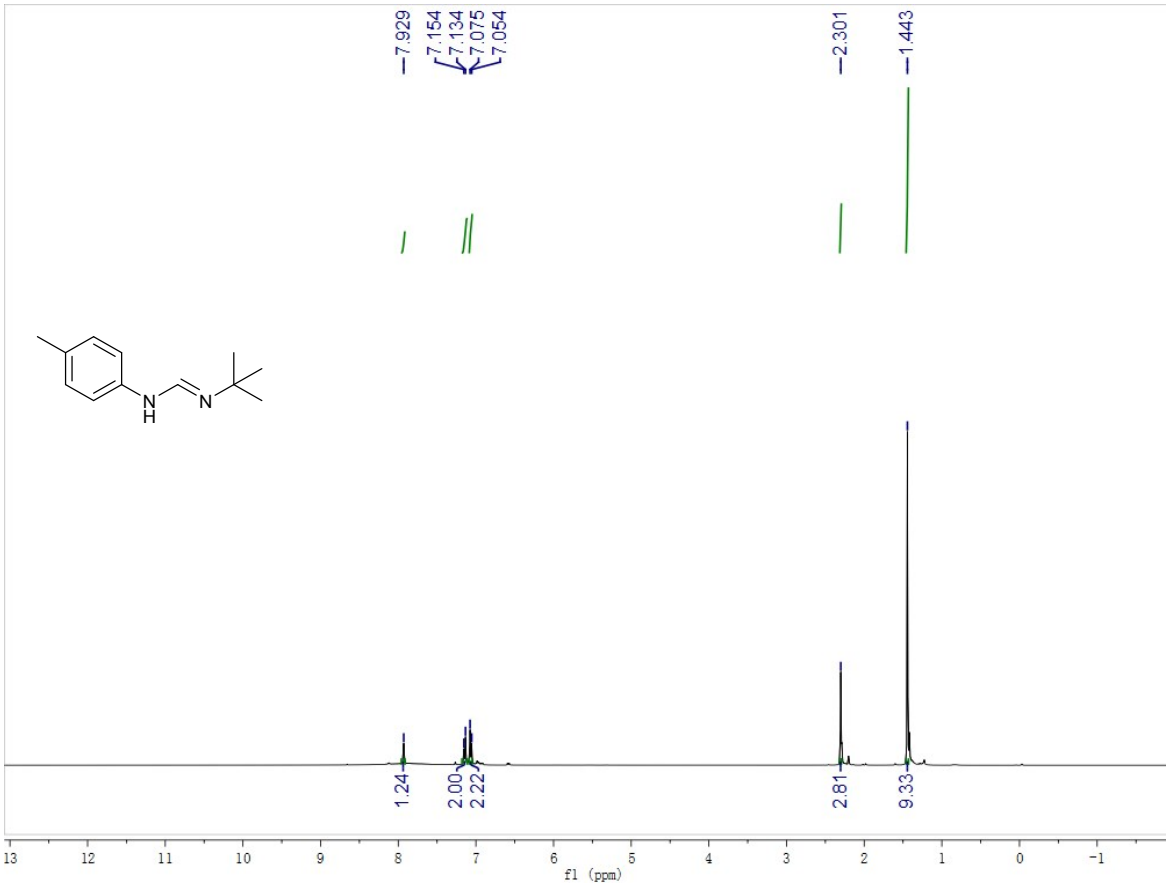
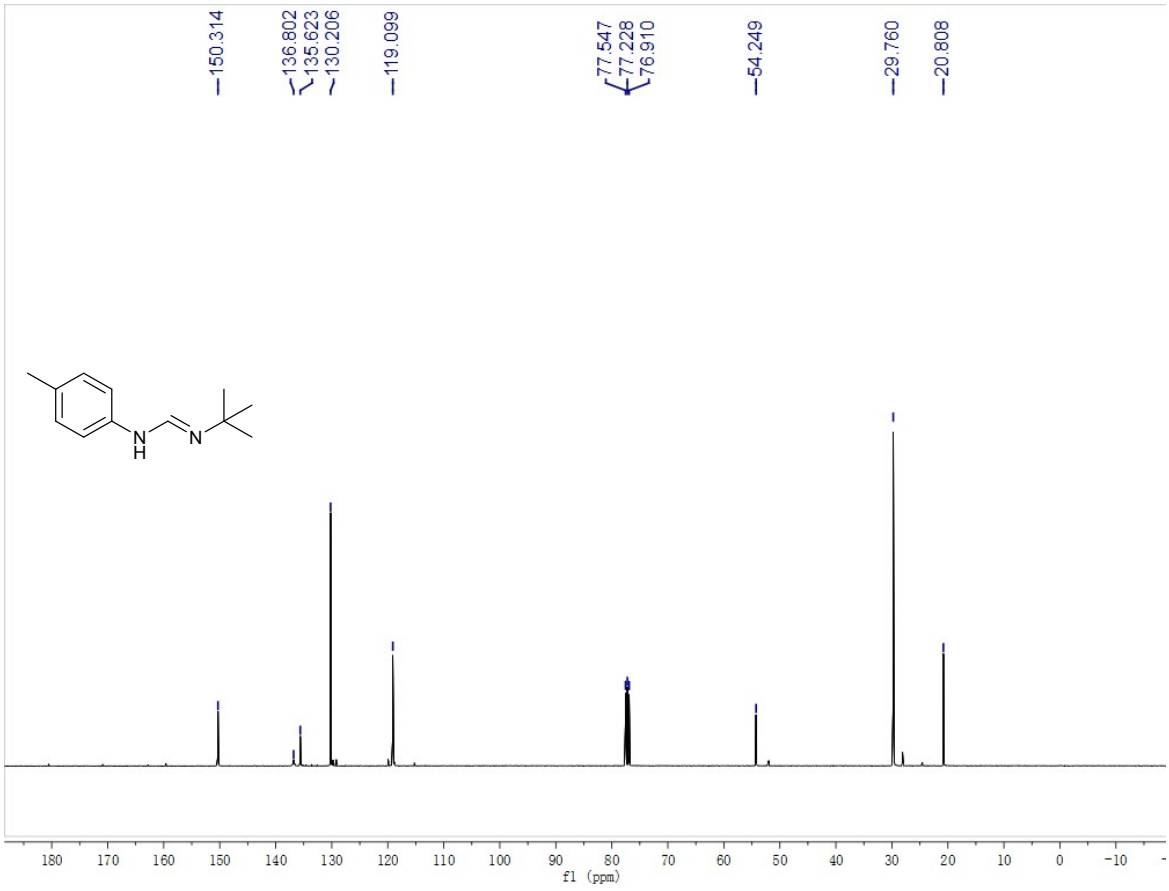
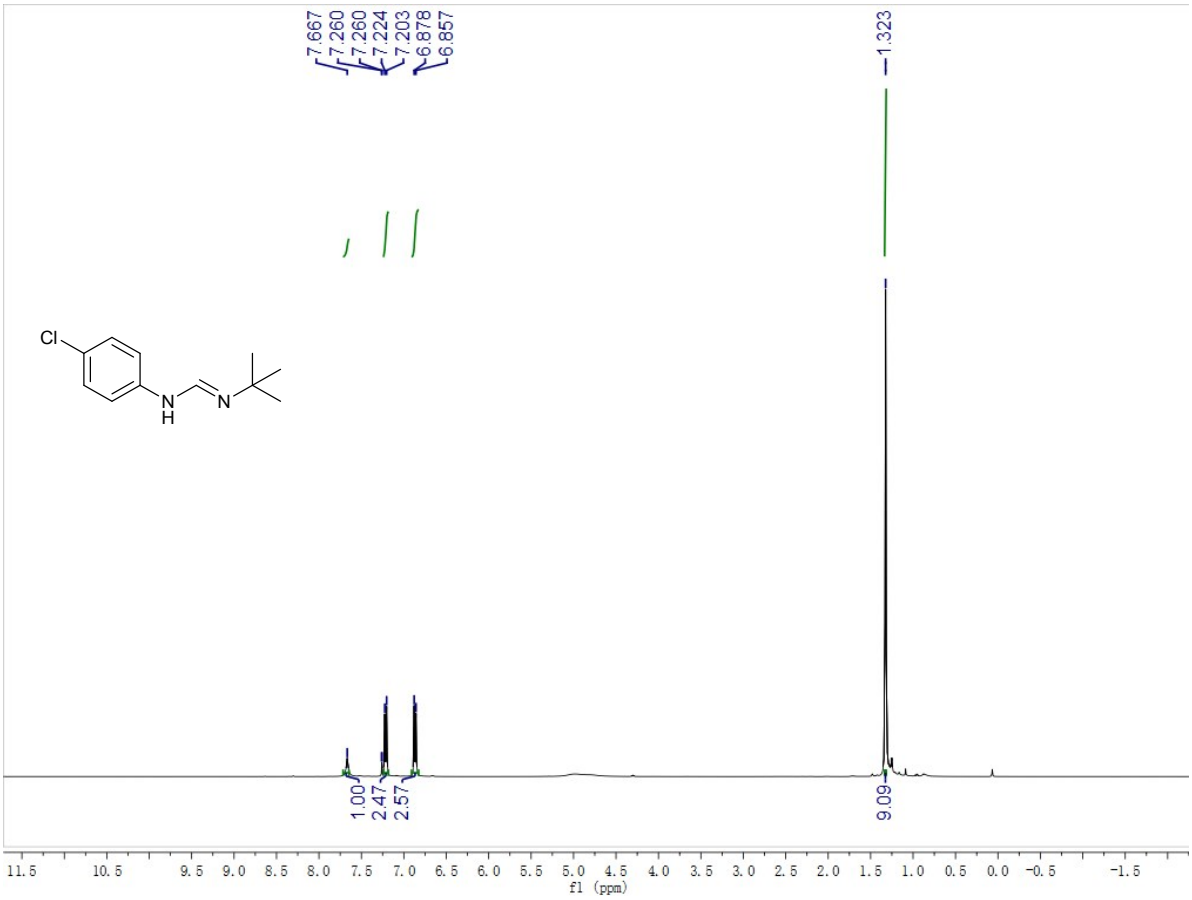
6. NMR spectra

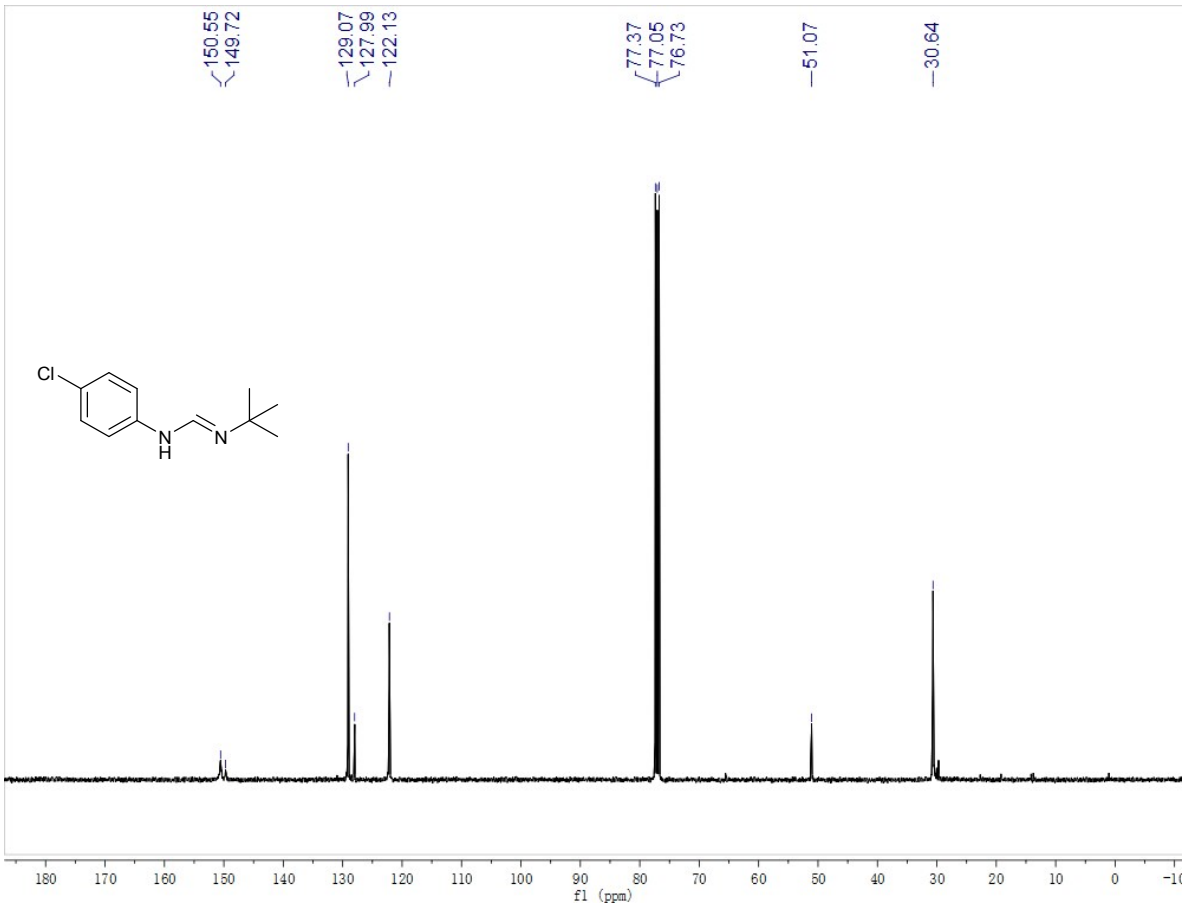
(*E*)-*N*'-tert-butyl-*N*-(*p*-tolyl)formimidamide **3a**



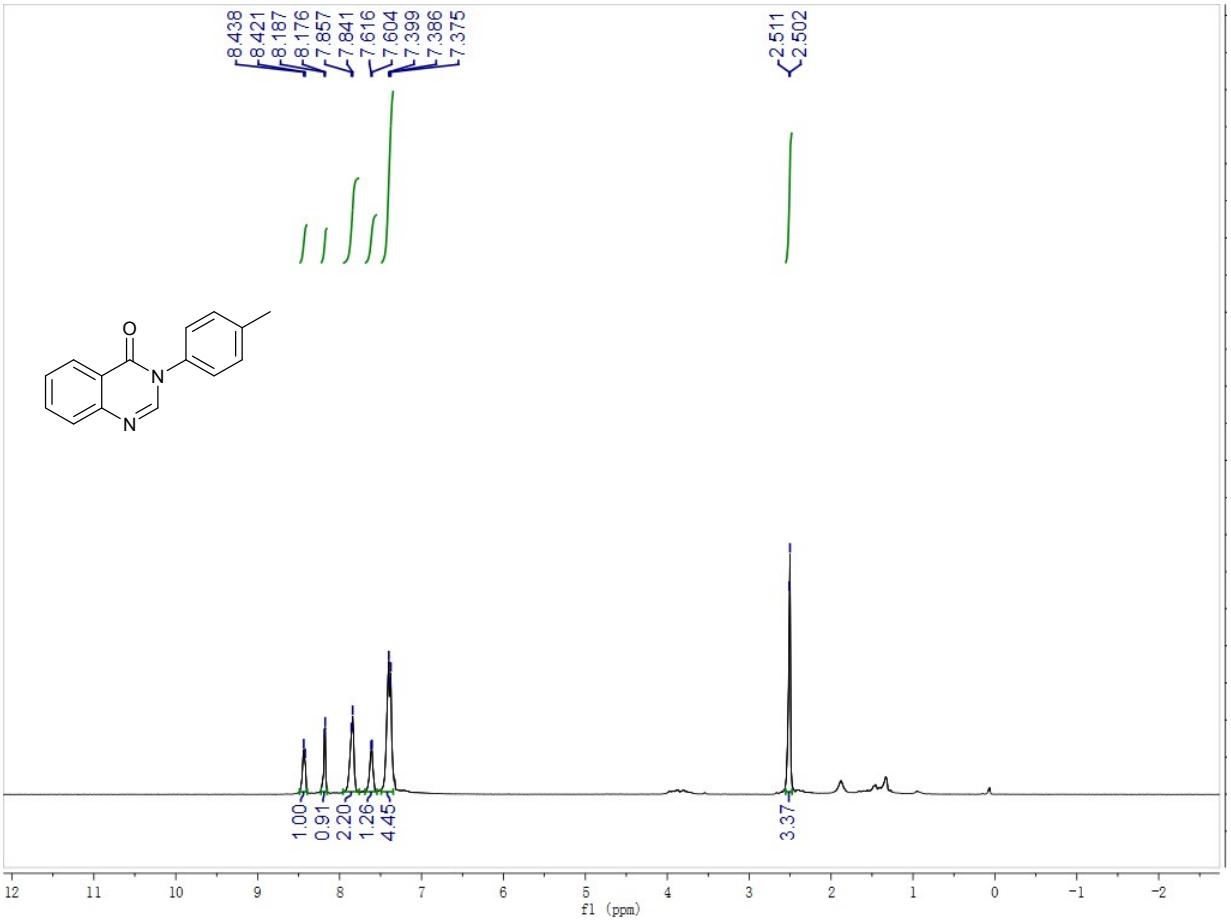


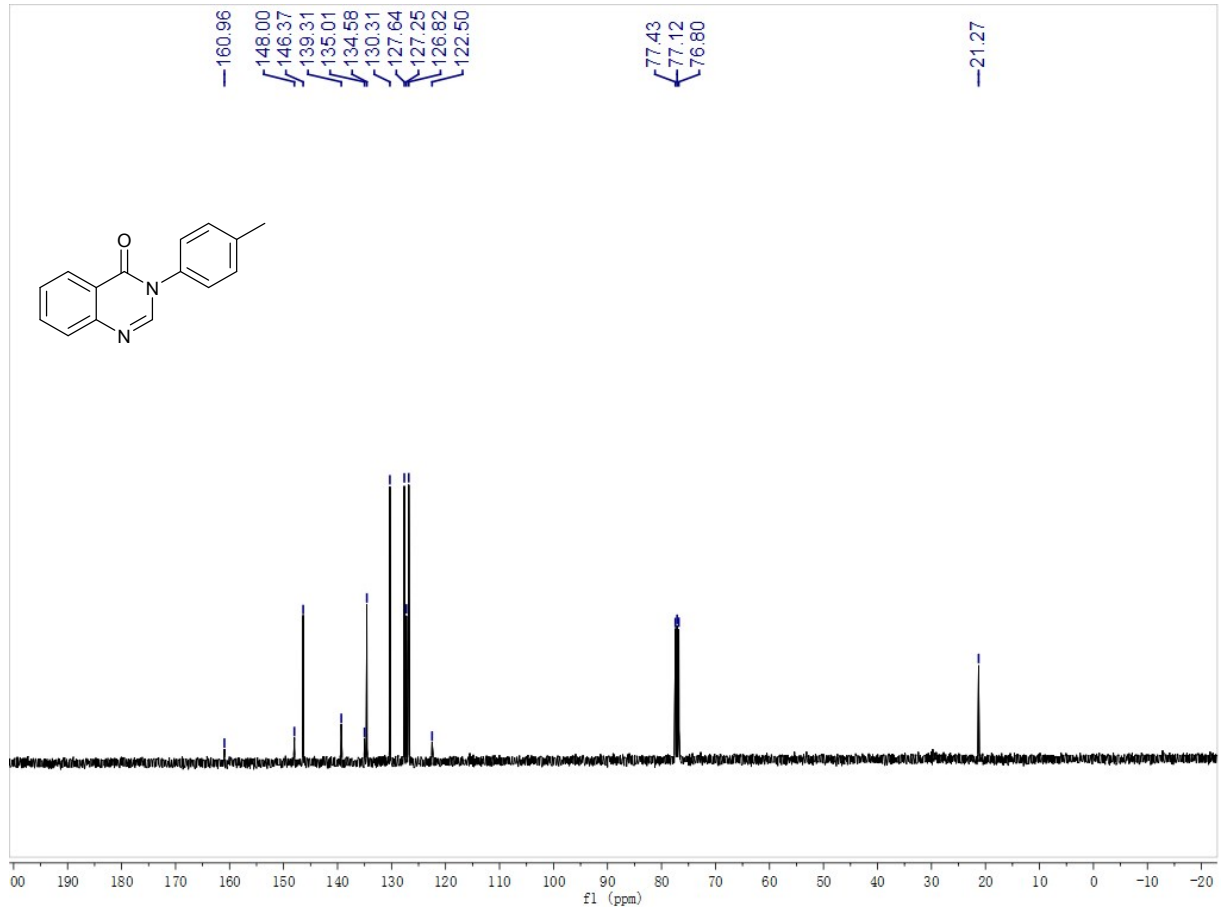
(*E*)-N'-tert-butyl-*N*-(4-chlorophenyl)formimidamide **3b**



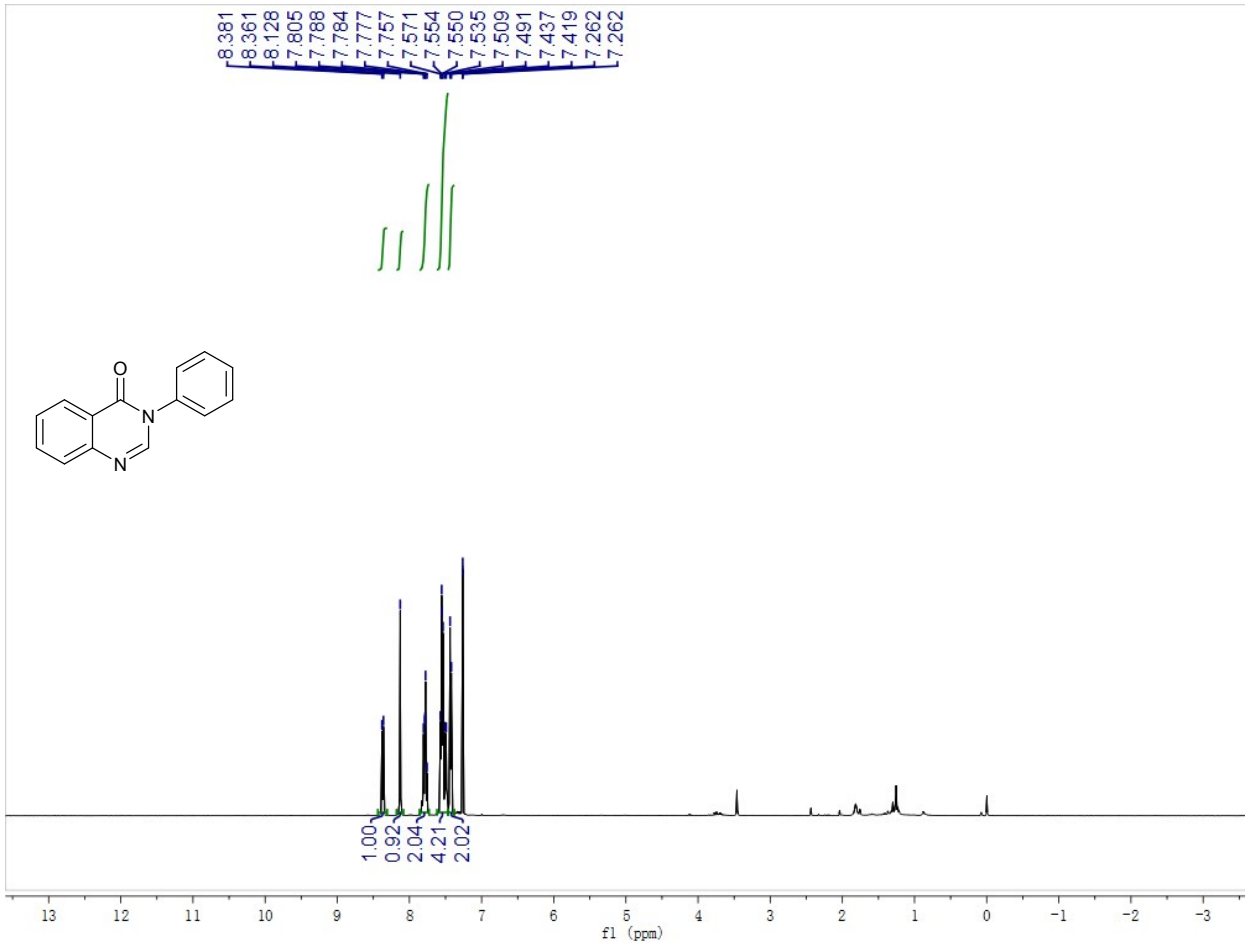


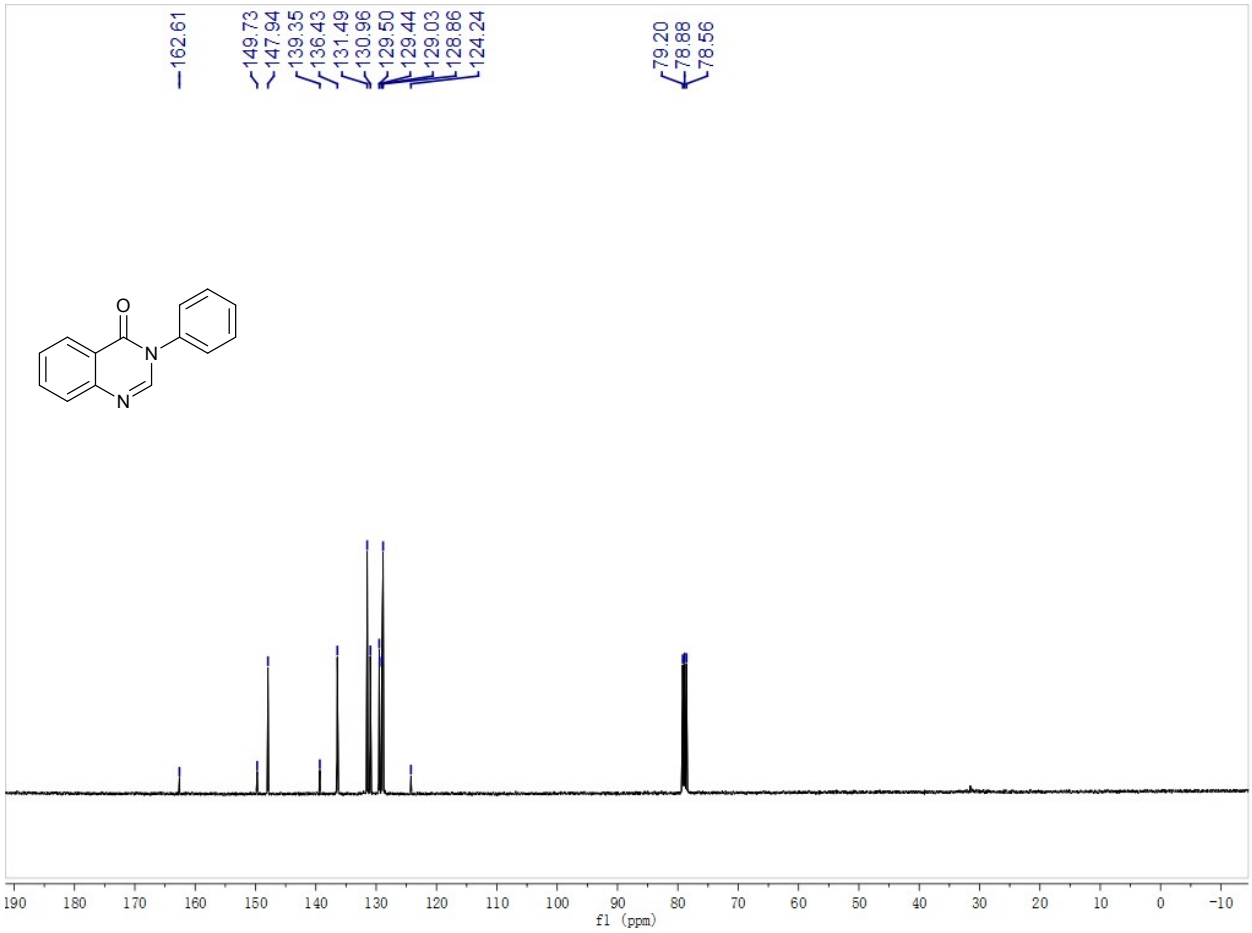
3-(*p*-tolyl)quinazolin-4(3*H*)-one **5a**



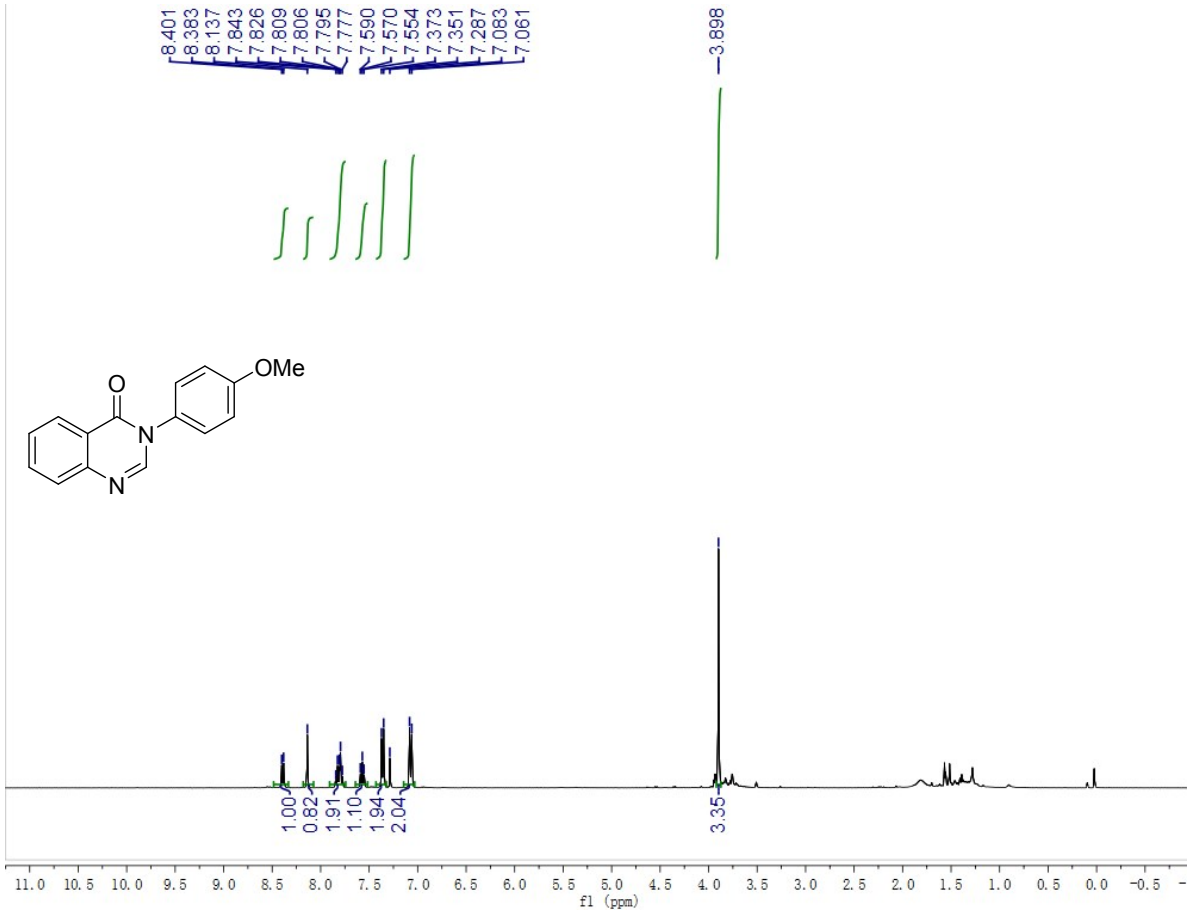


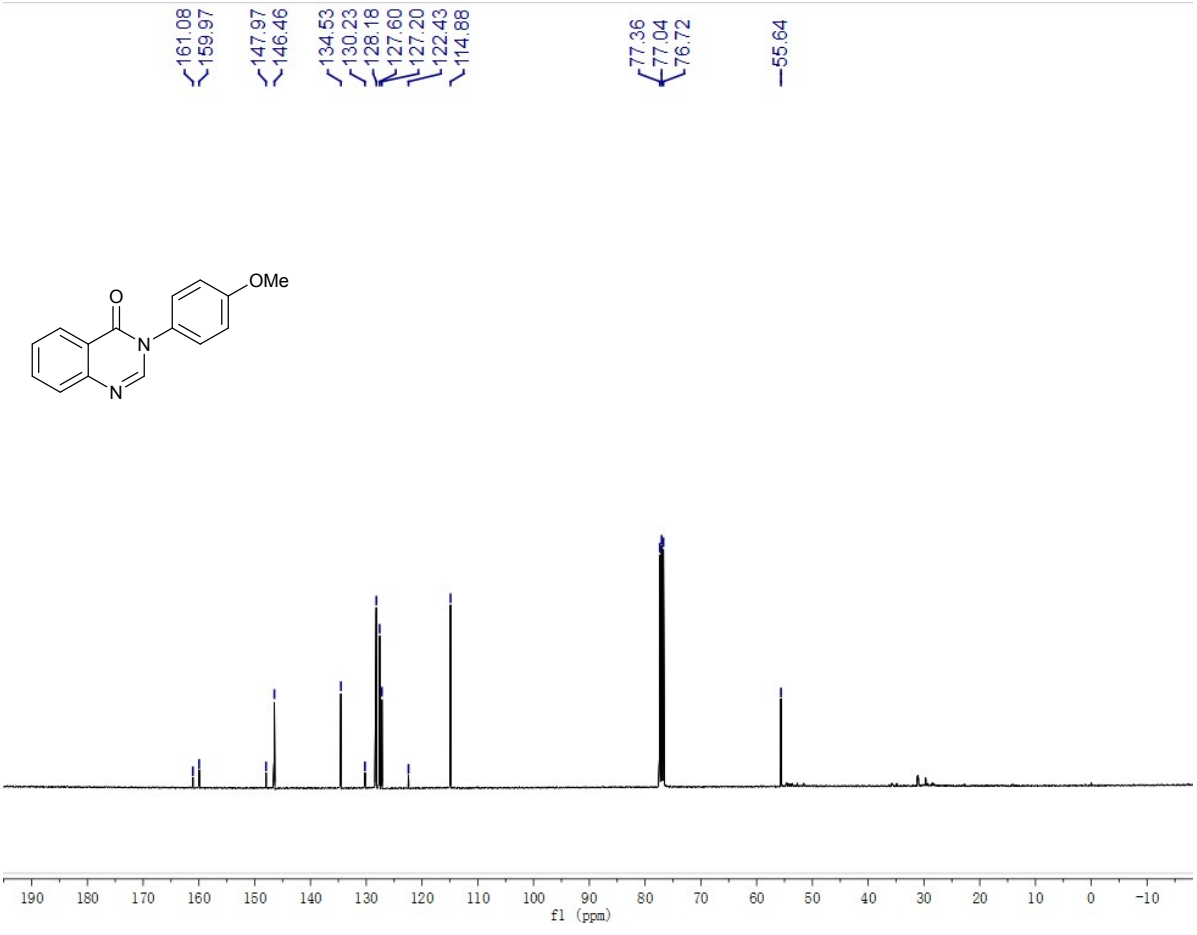
3-phenylquinazolin-4(3*H*)-one **5b**



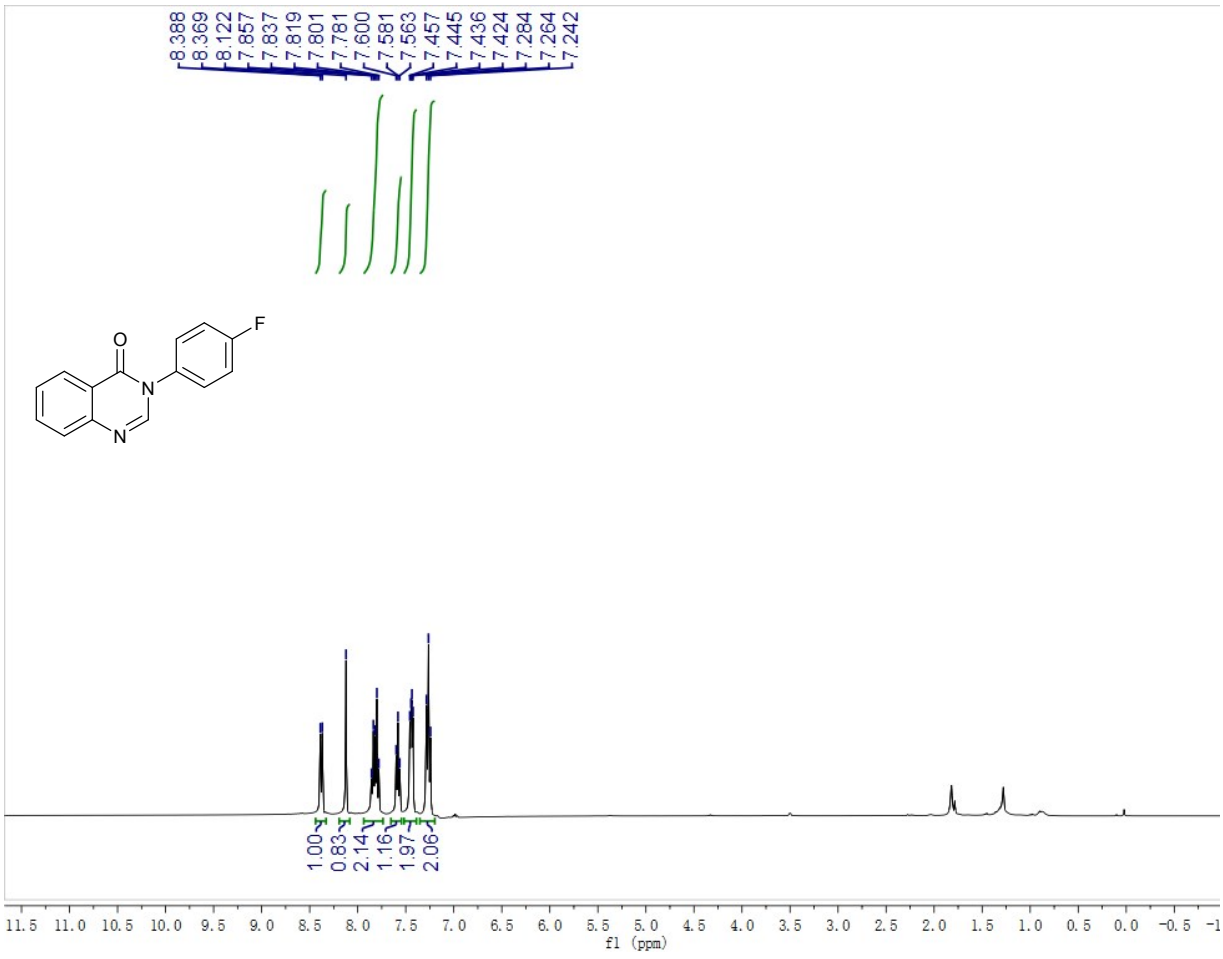


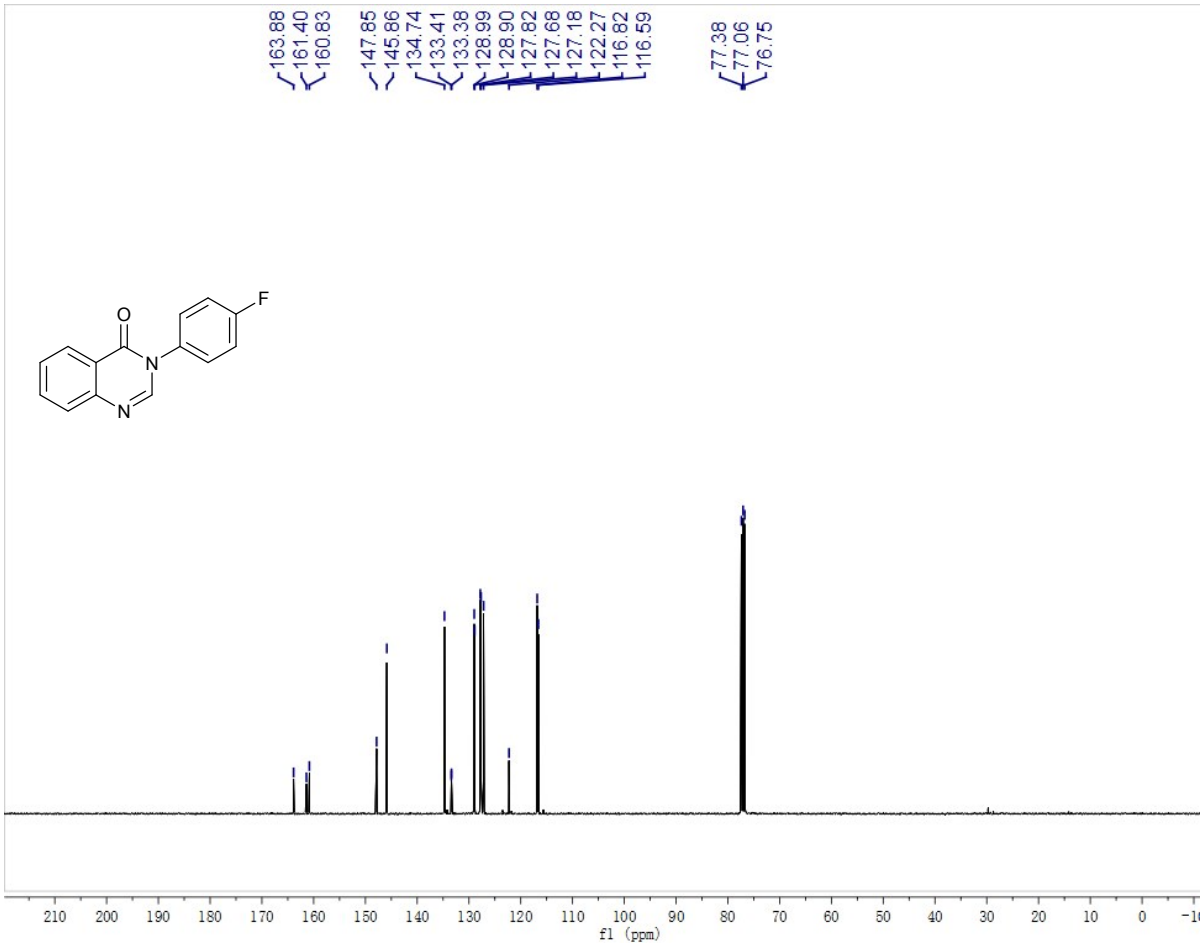
3-(4-methoxyphenyl)quinazolin-4(3*H*)-one **5c**



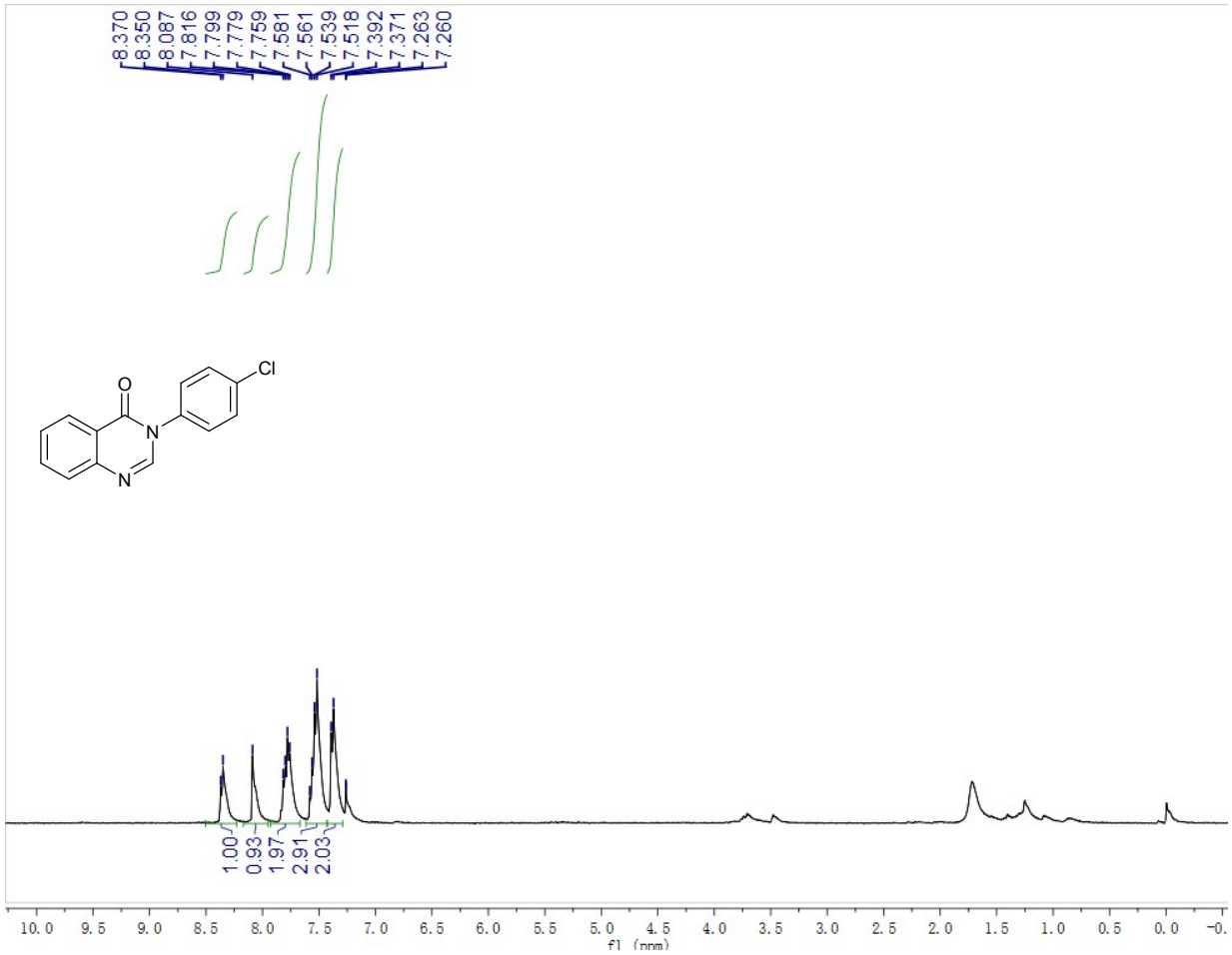


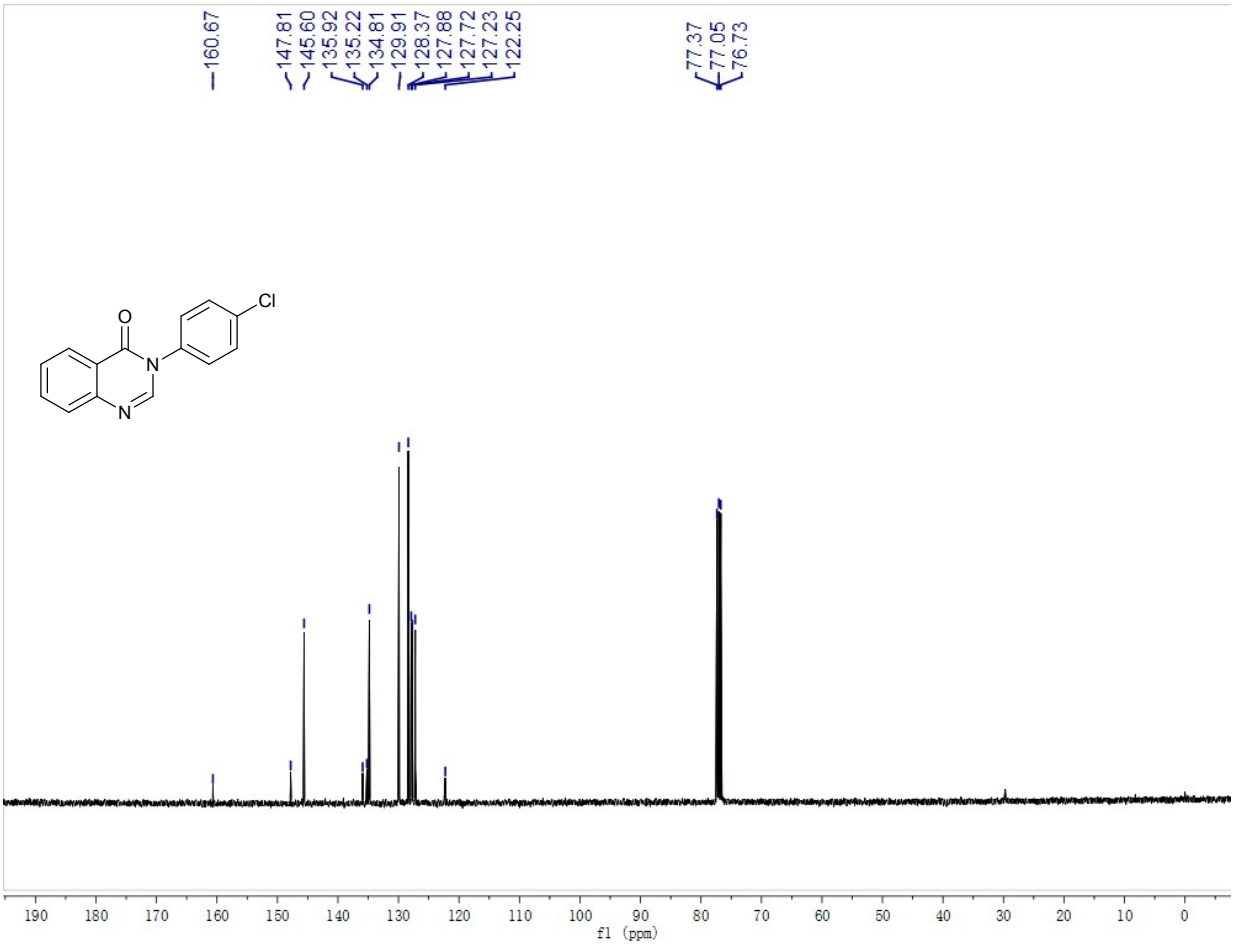
3-(4-fluorophenyl)quinazolin-4(3*H*)-one **5d**



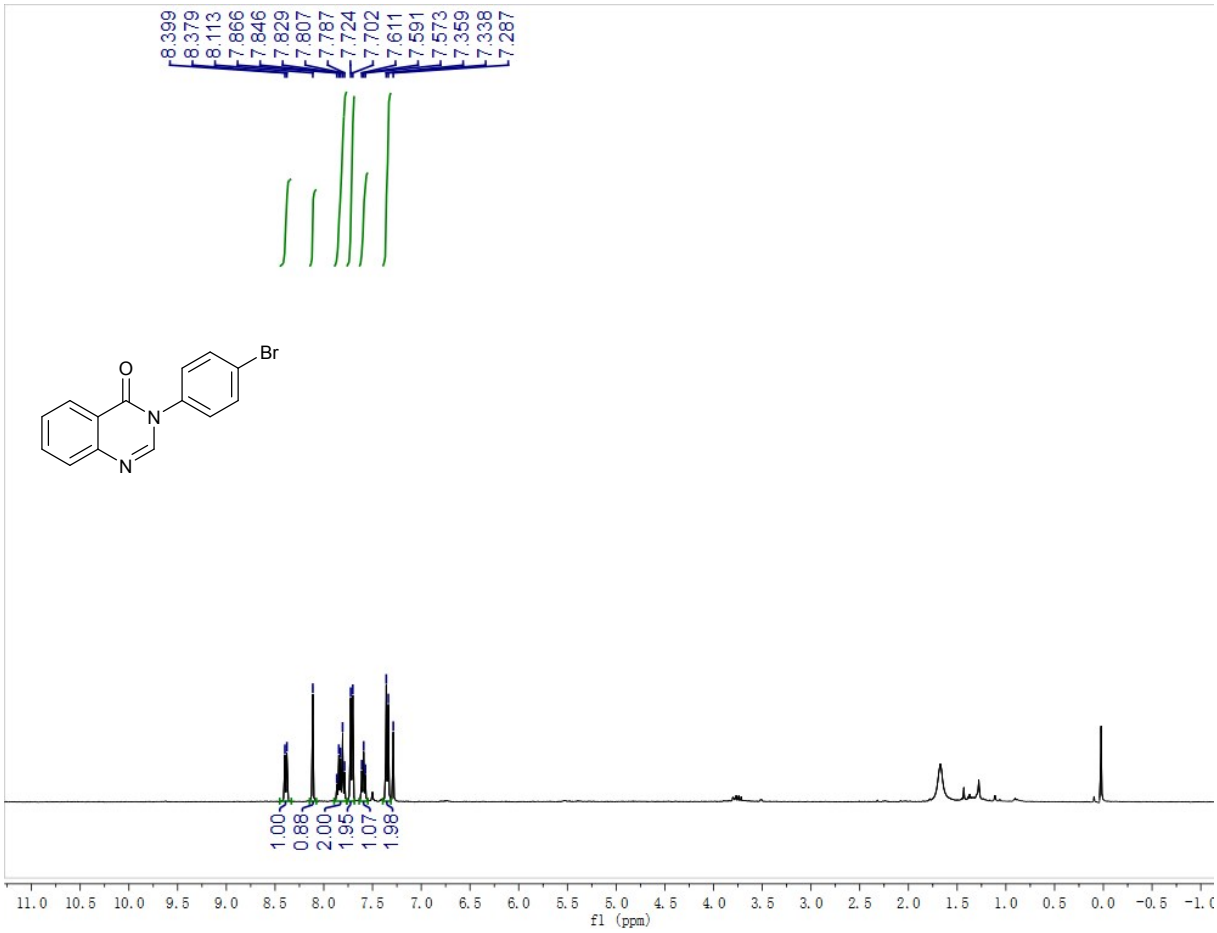


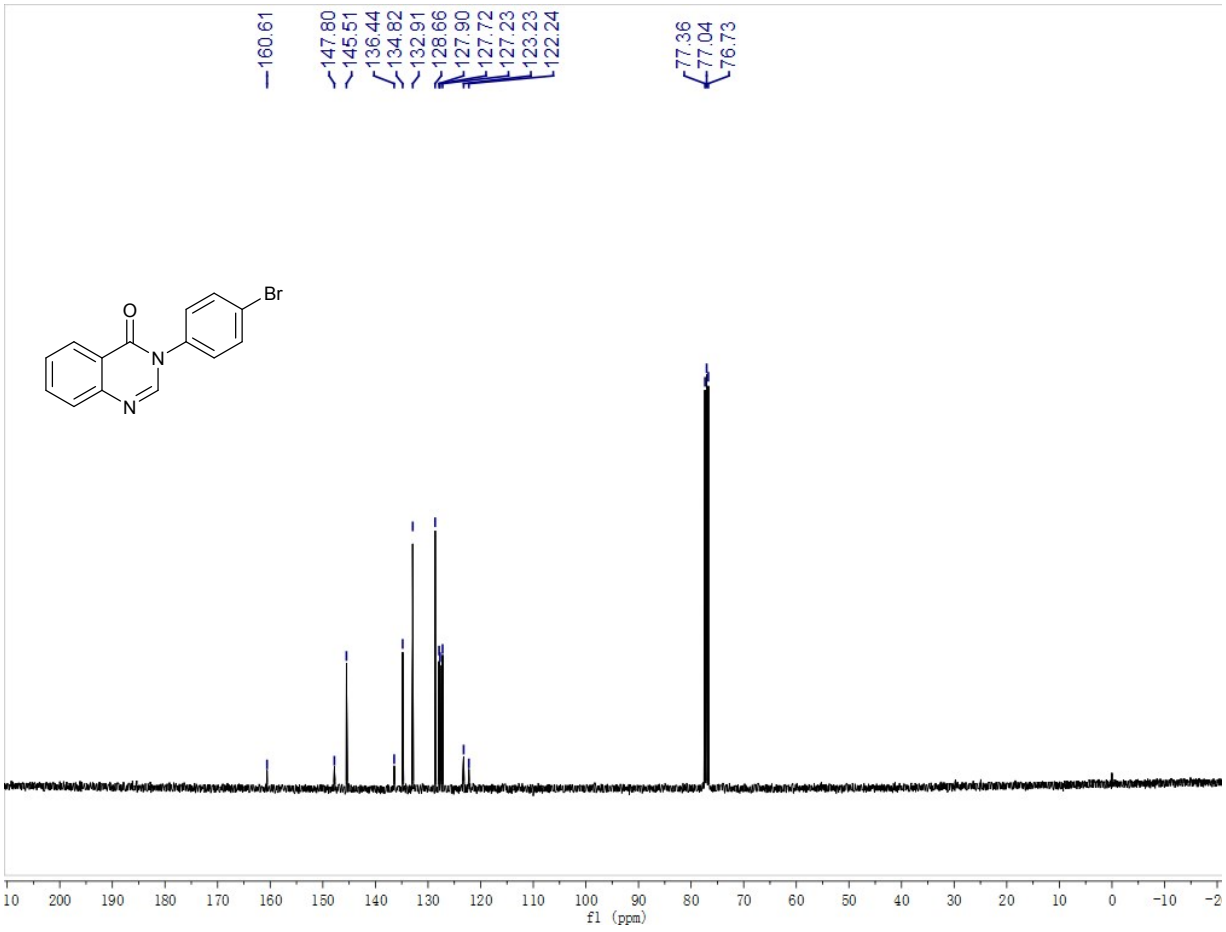
3-(4-chlorophenyl)quinazolin-4(3*H*)-one **5e**



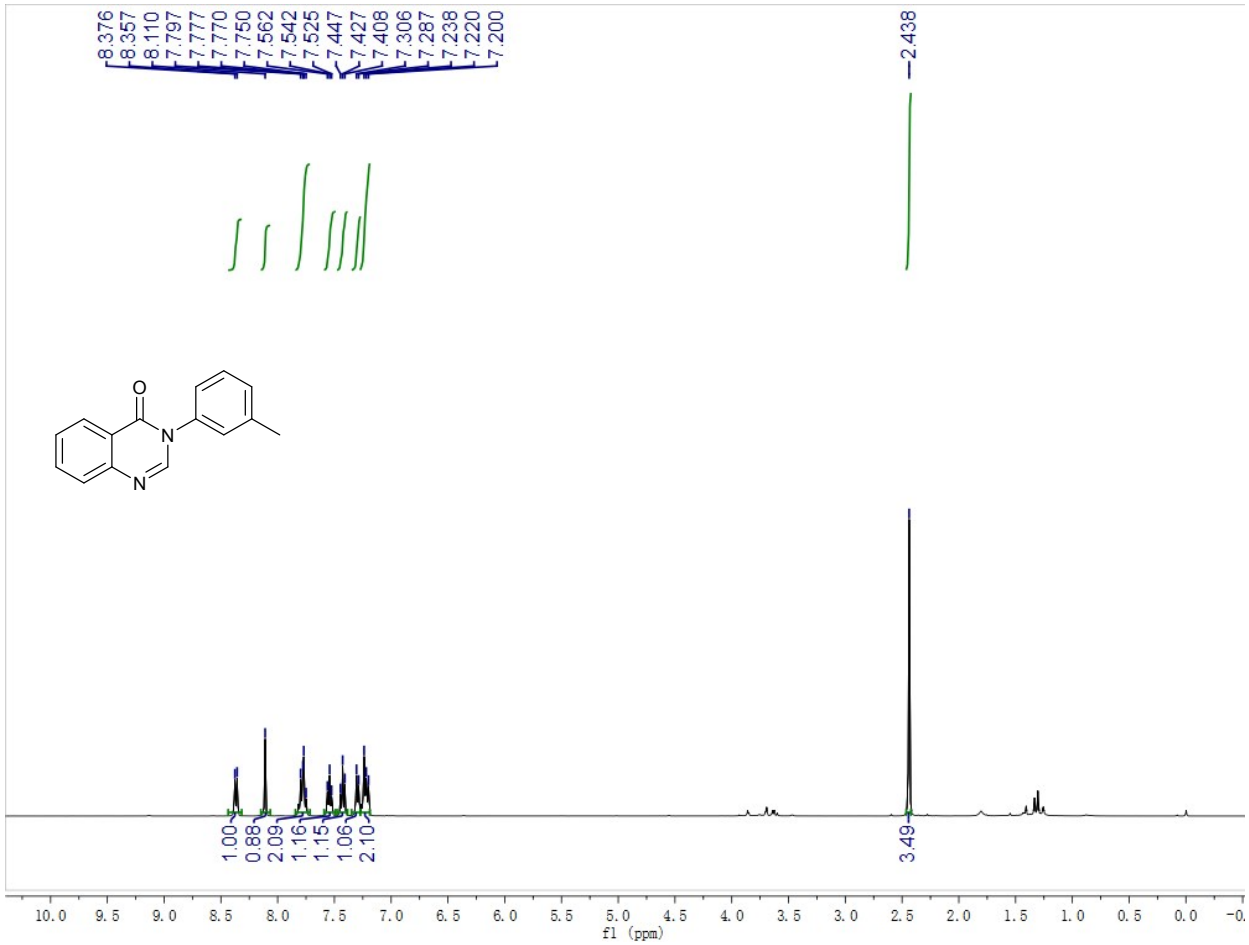


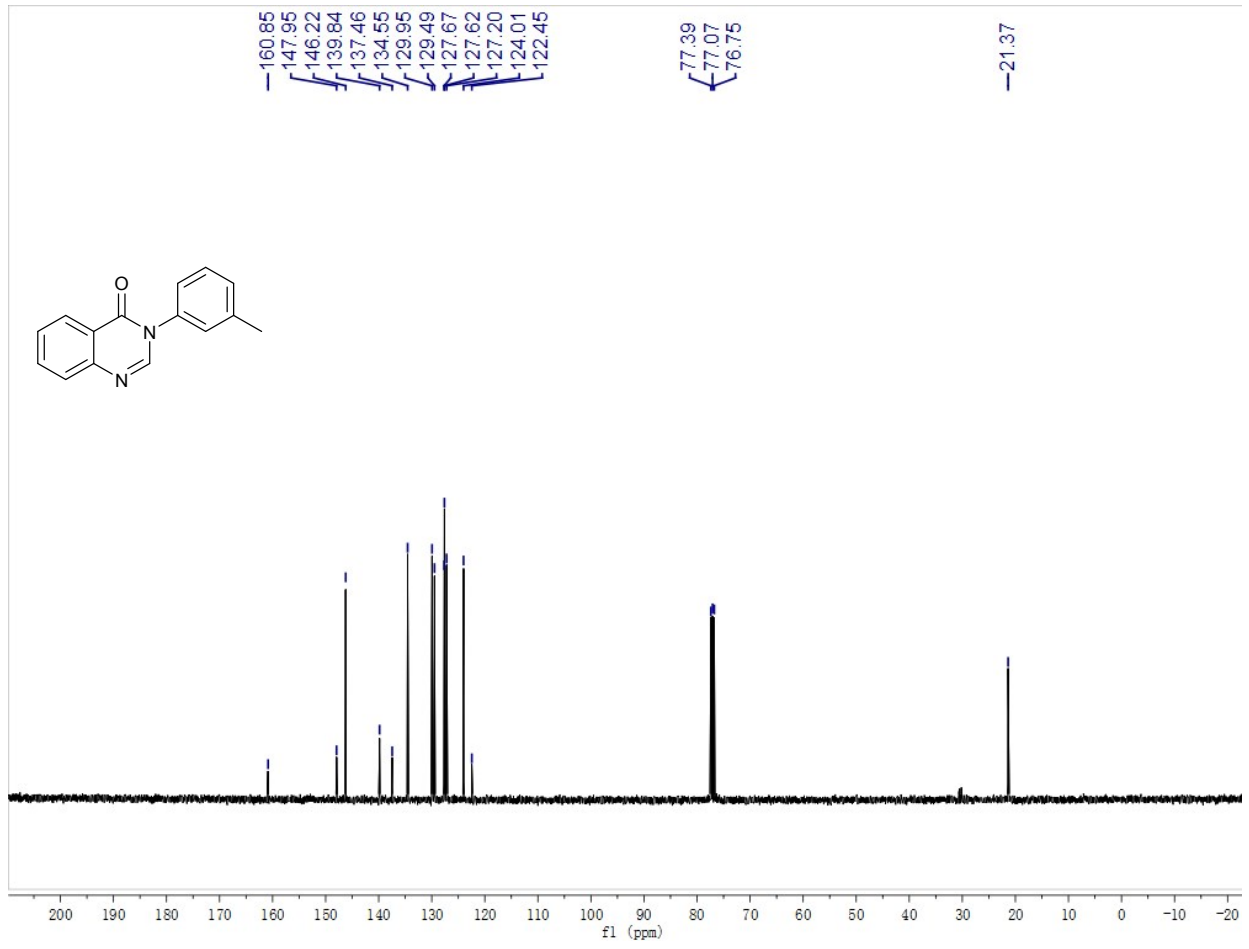
3-(4-bromophenyl)quinazolin-4(3*H*)-one **5f**



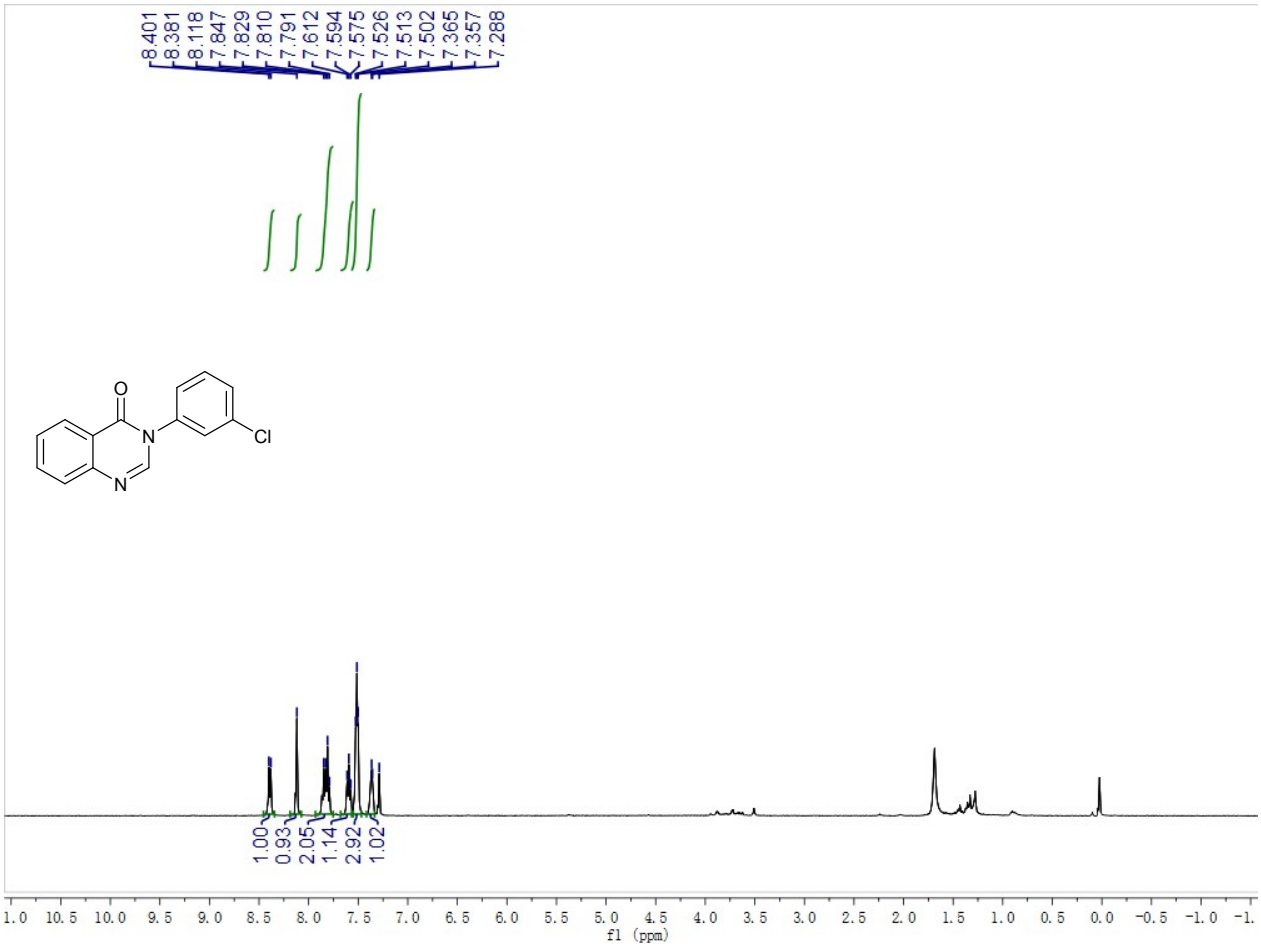


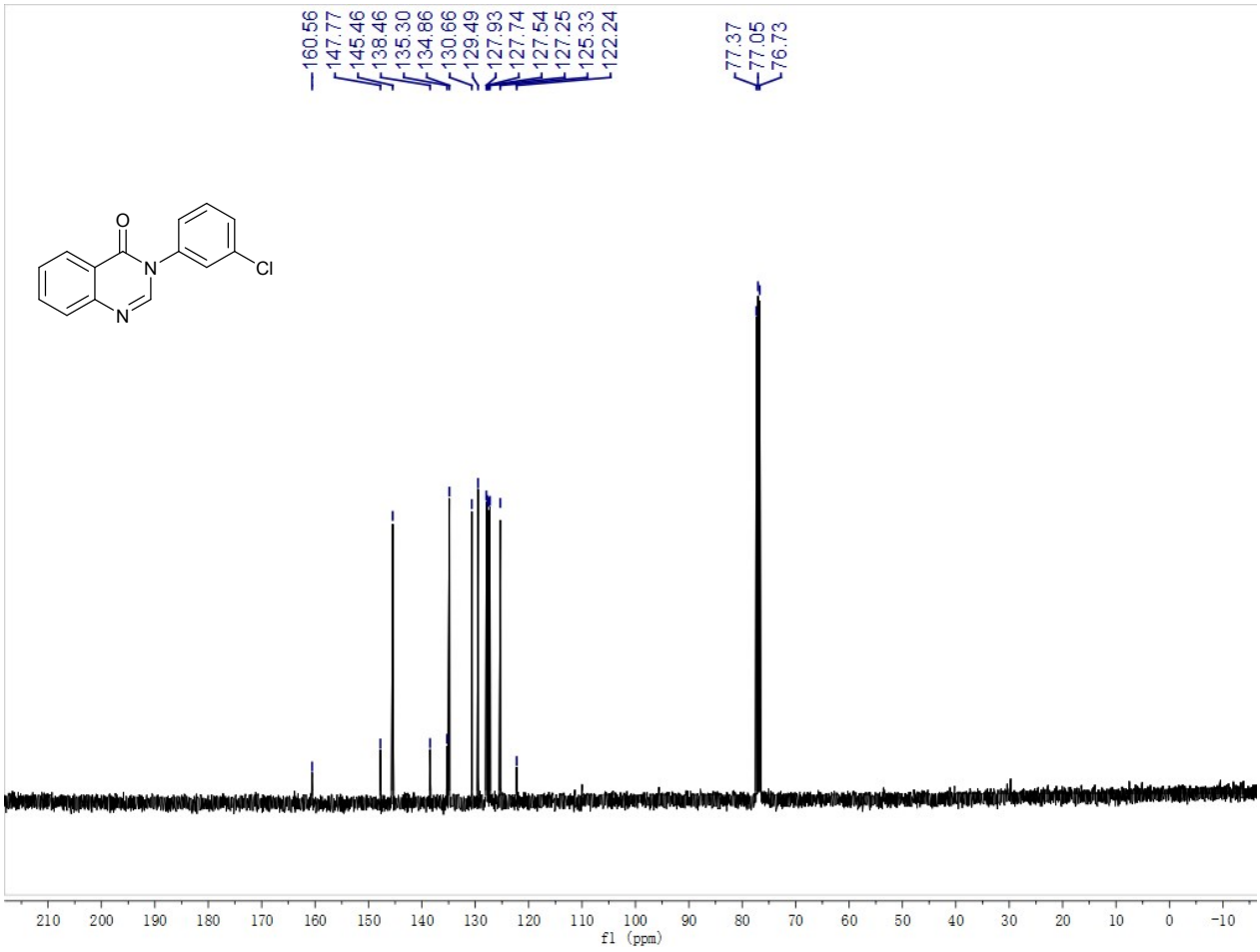
3-(*m*-tolyl)quinazolin-4(3*H*)-one **5g**



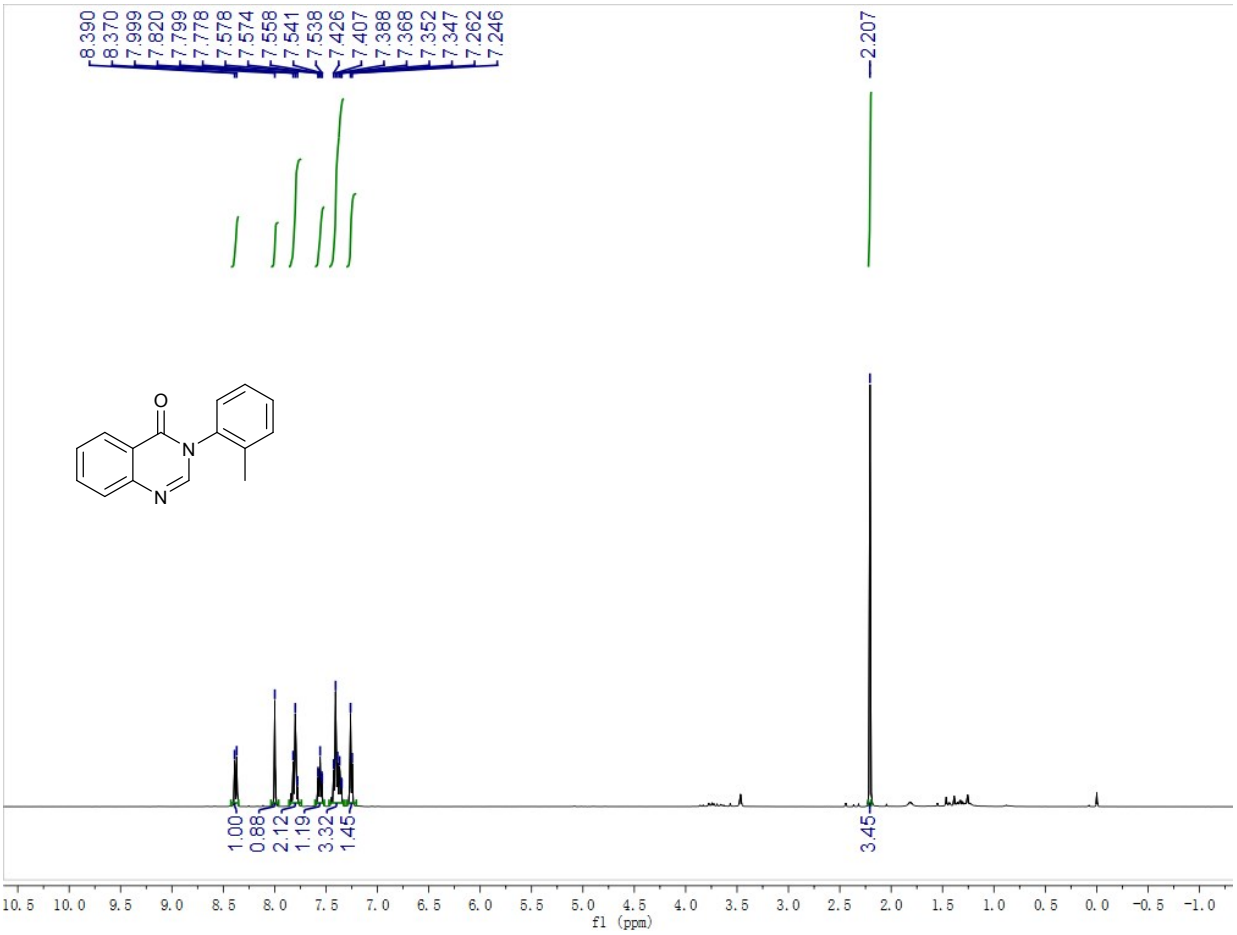


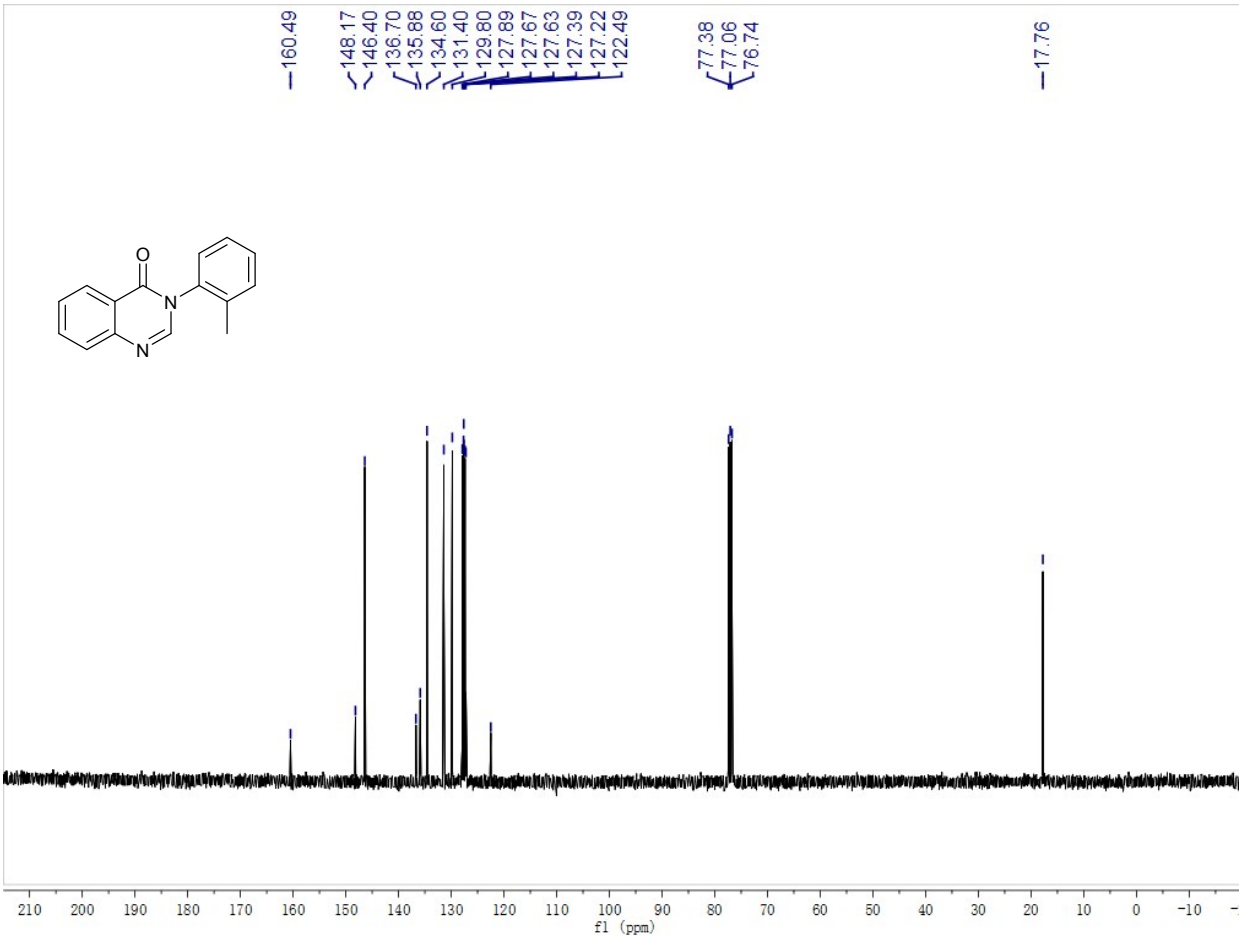
3-(3-chlorophenyl)quinazolin-4(3*H*)-one **5h**



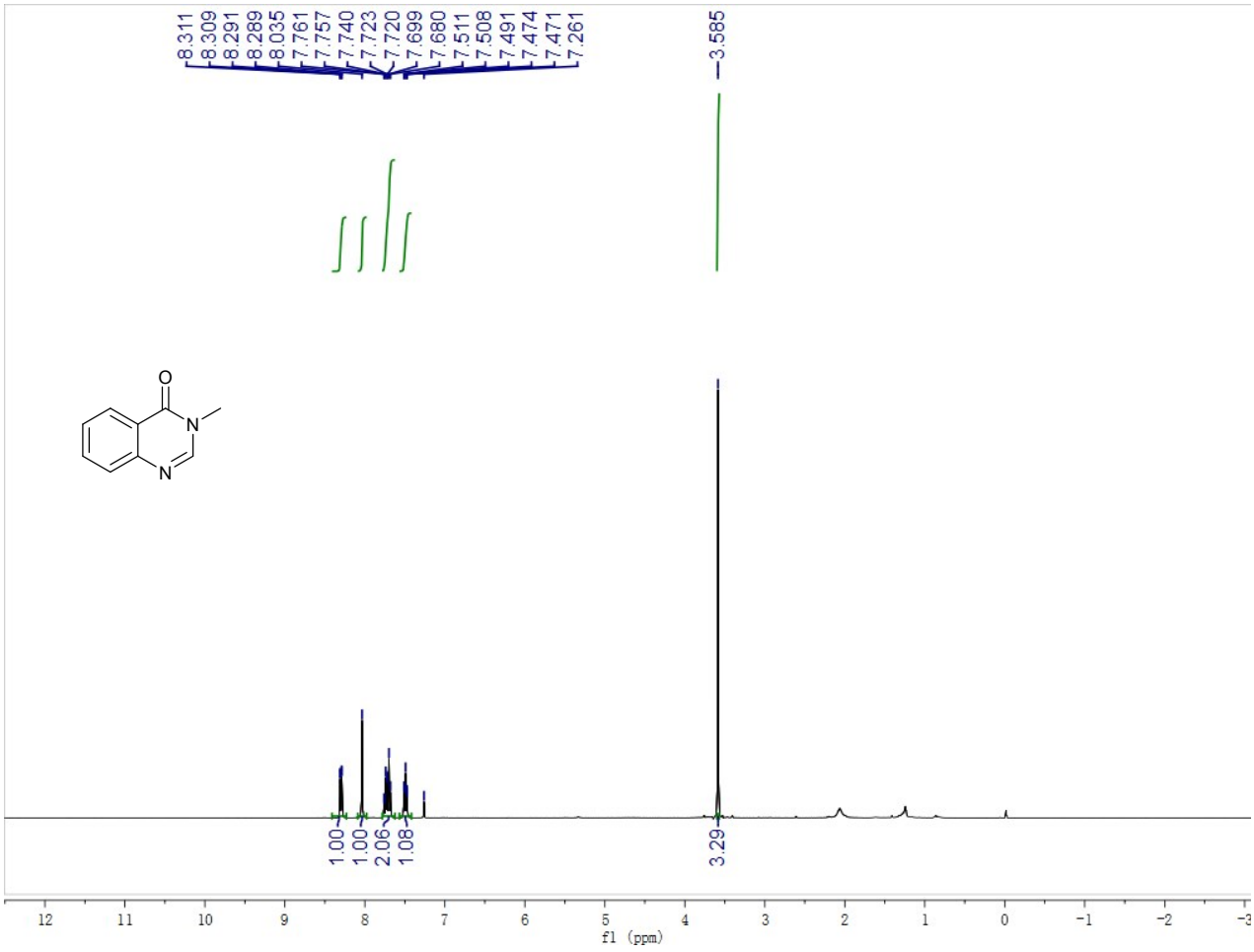


3-(*o*-tolyl)quinazolin-4(3*H*)-one **5i**





3-methylquinazolin-4(3*H*)-one **5j**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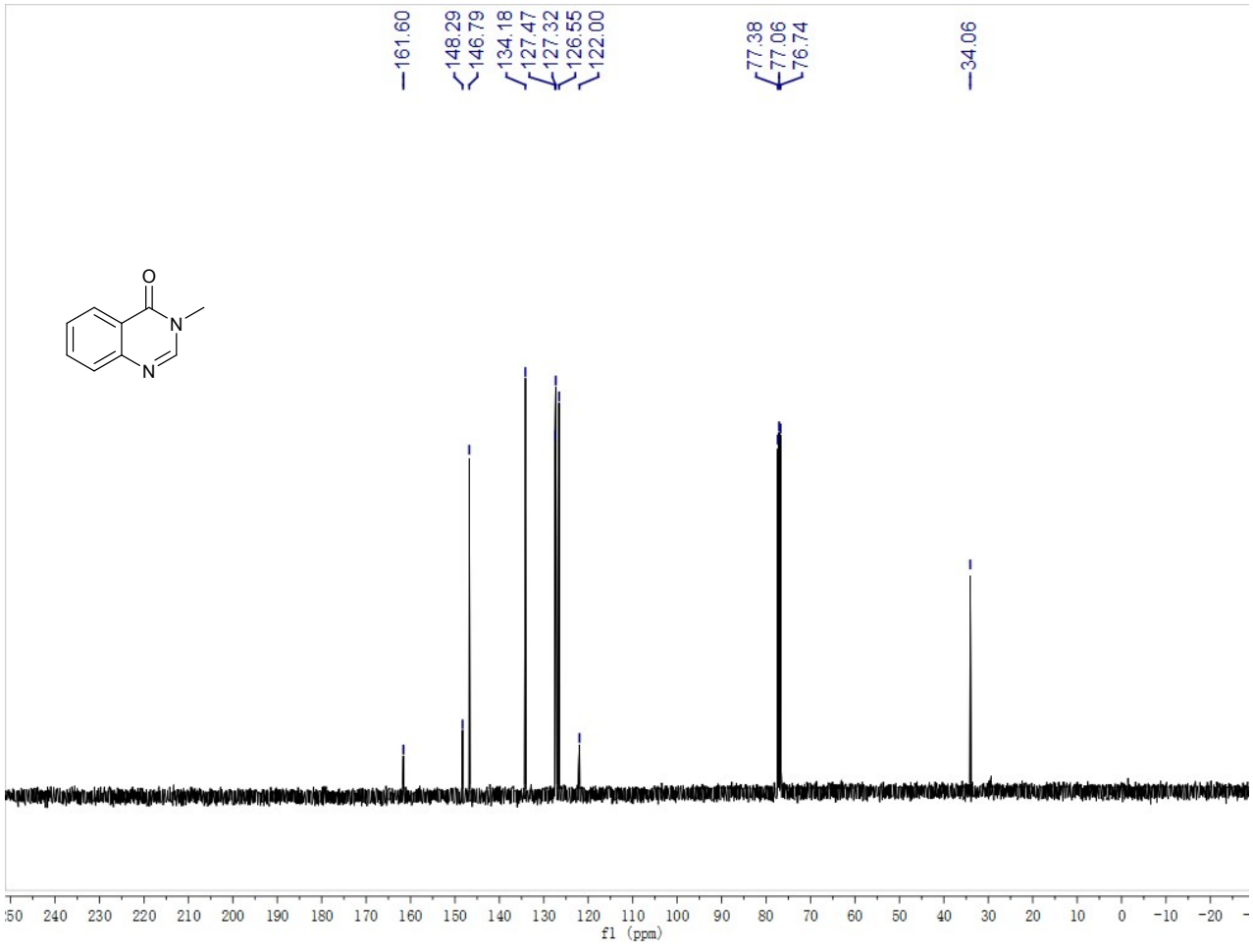
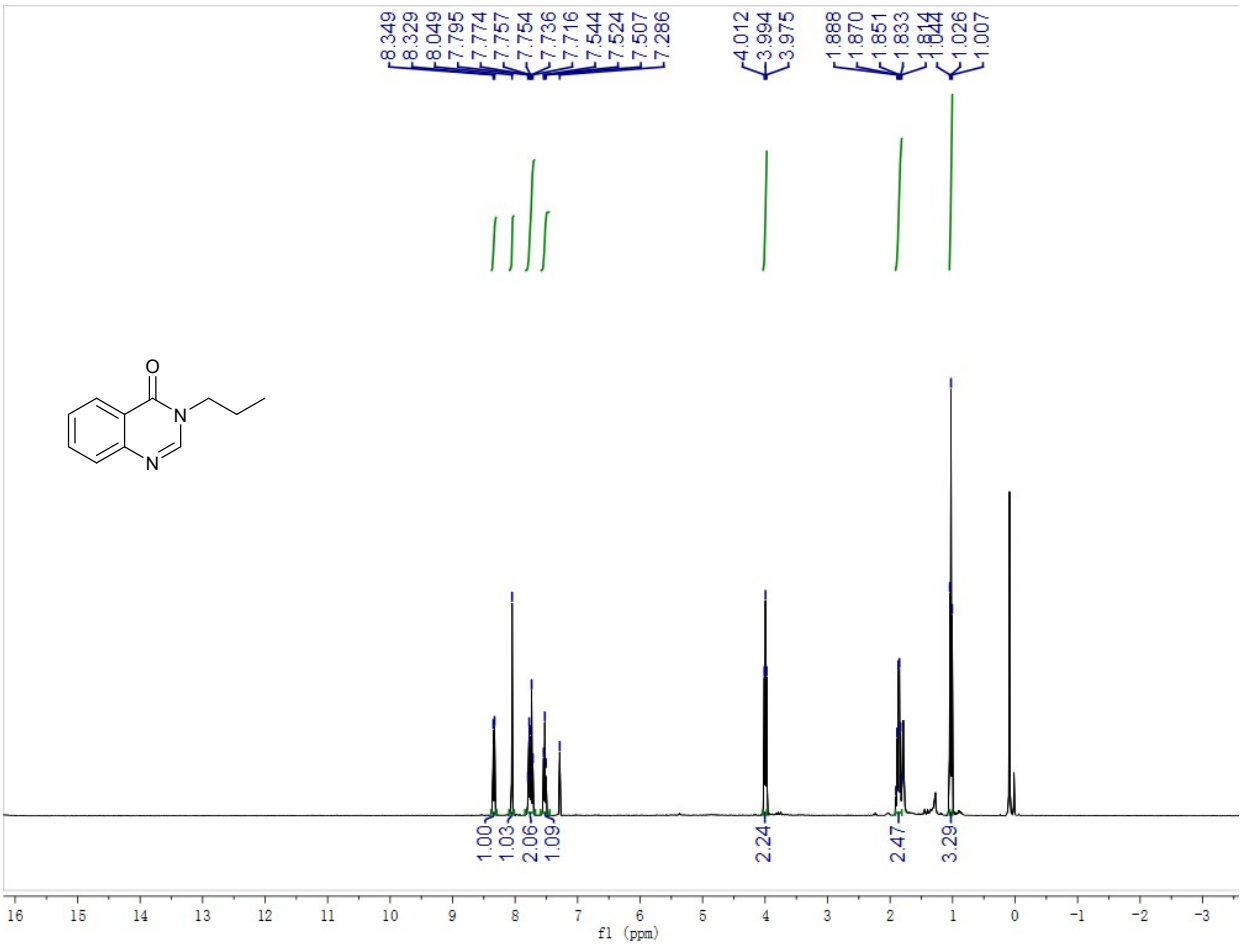
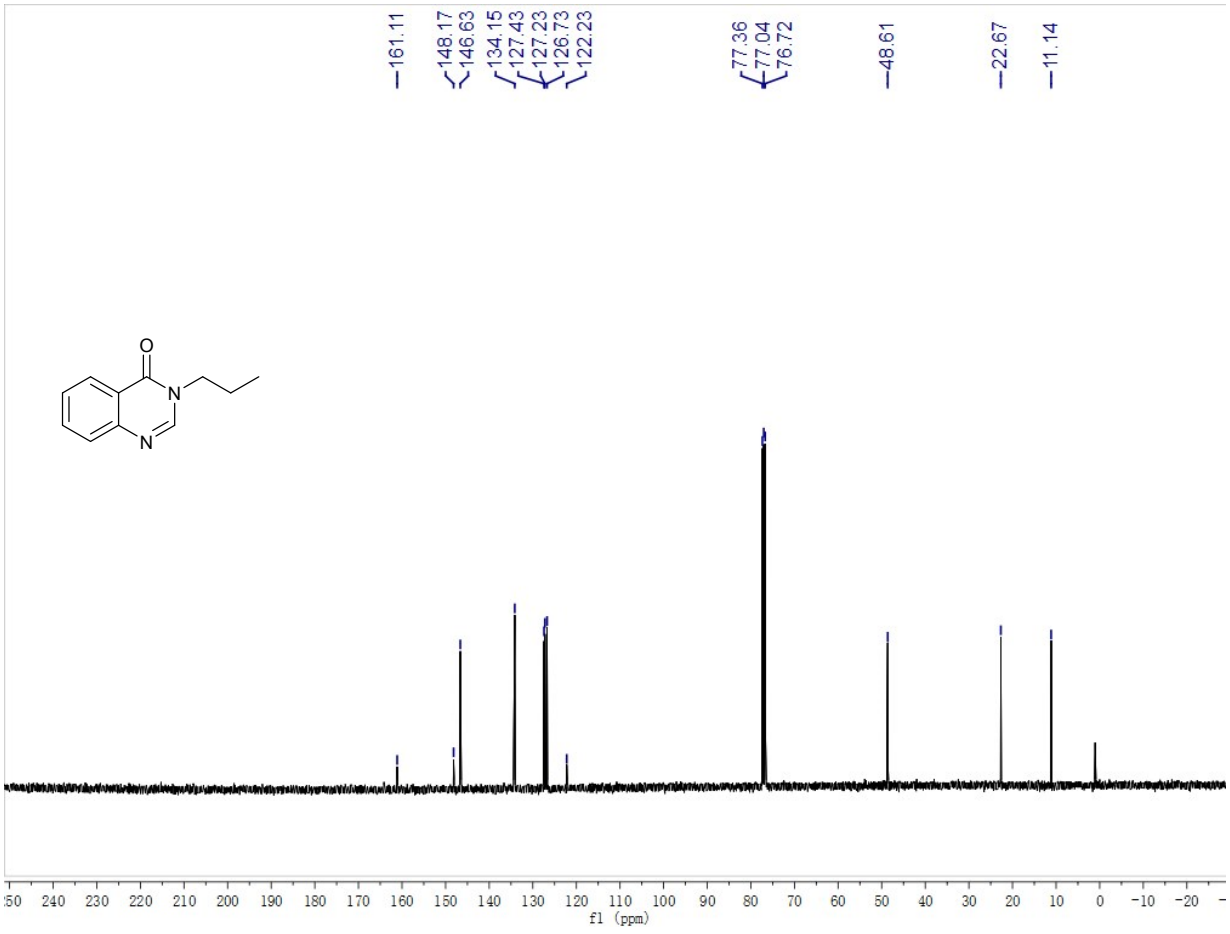
1.00
2.06
1.08
3.29
f1 (ppm)

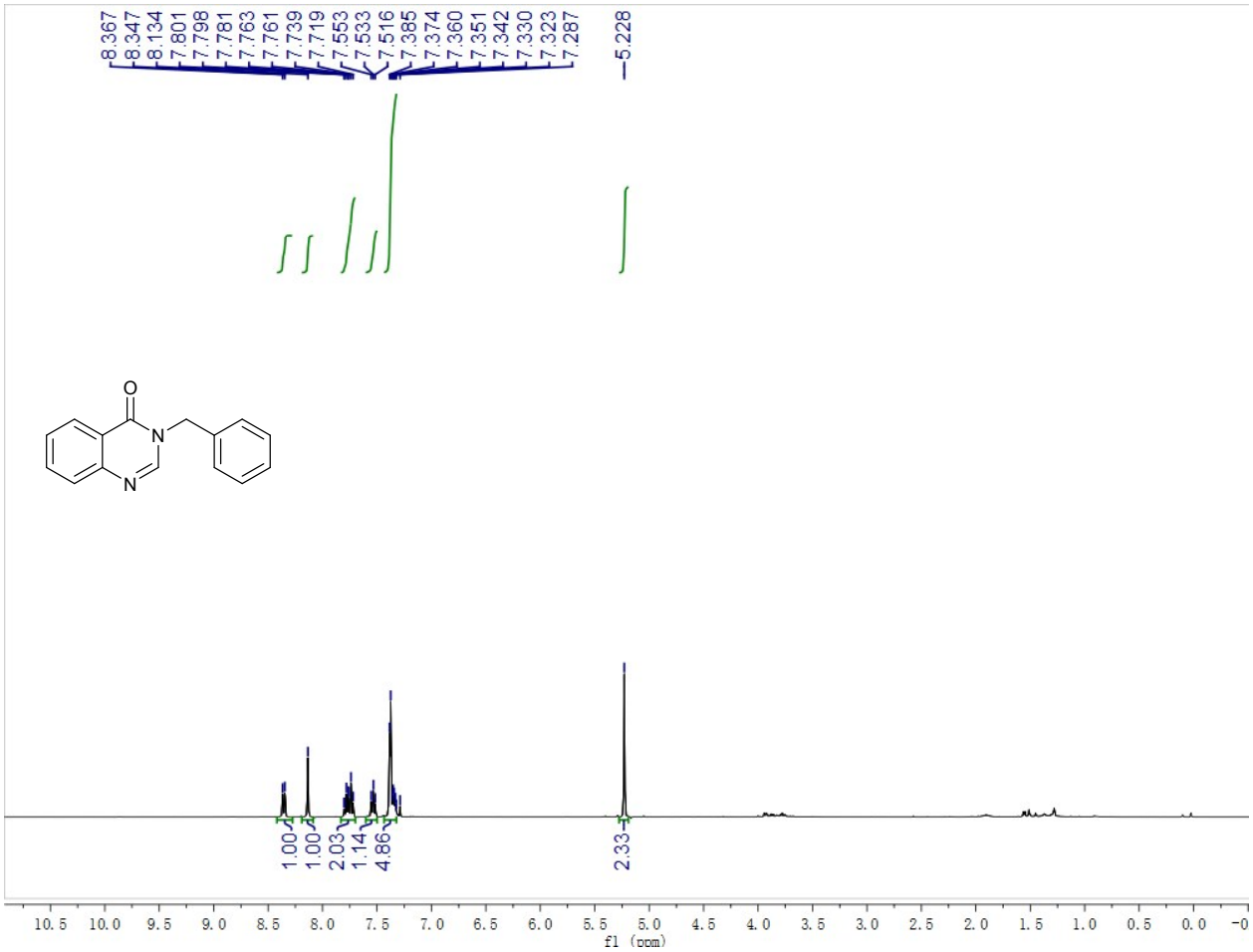

161.60
148.29
146.79
134.18
127.47
127.32
126.55
122.00
77.38
77.06
76.74
34.06
f1 (ppm)

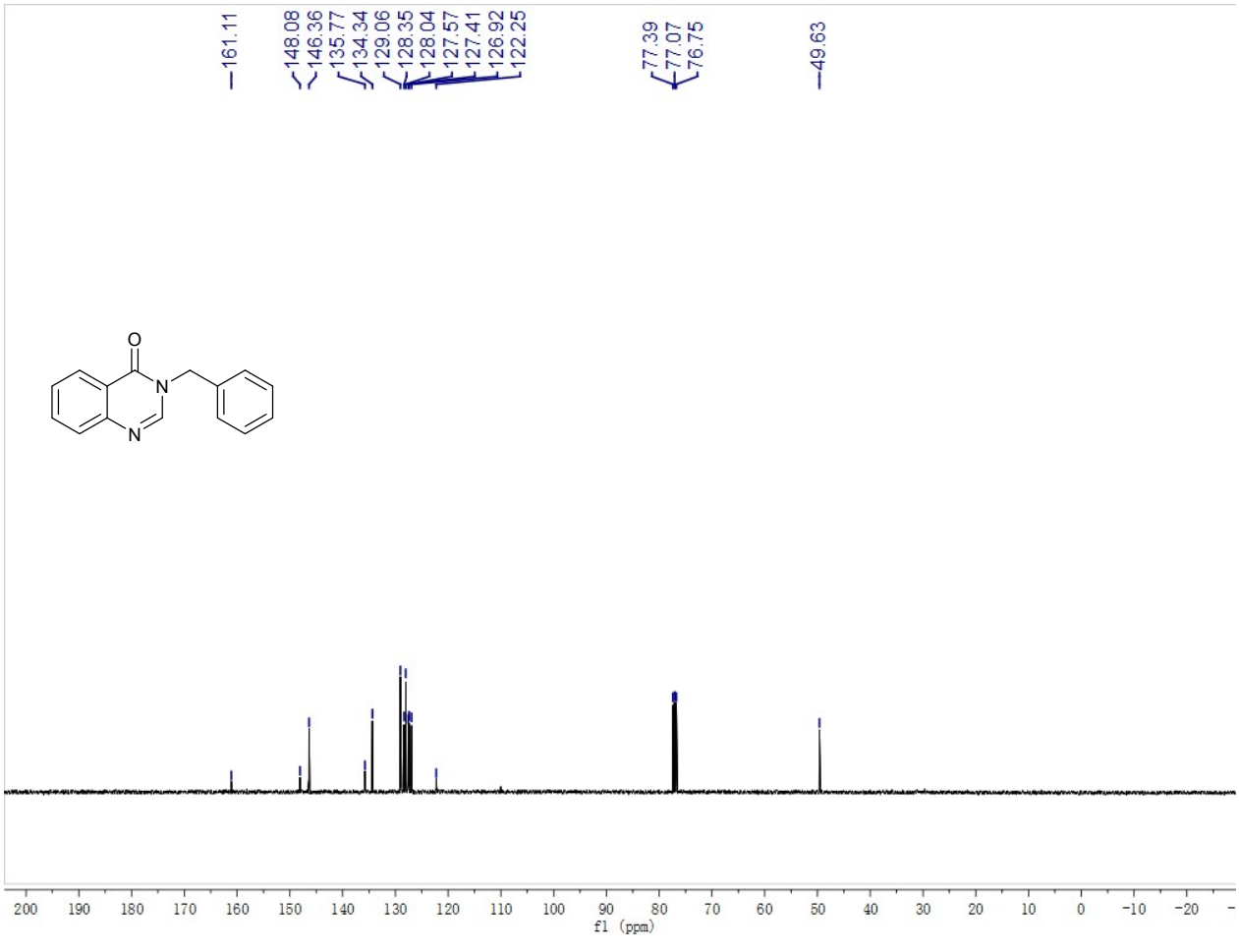
3-propylquinazolin-4(3*H*)-one **5k**



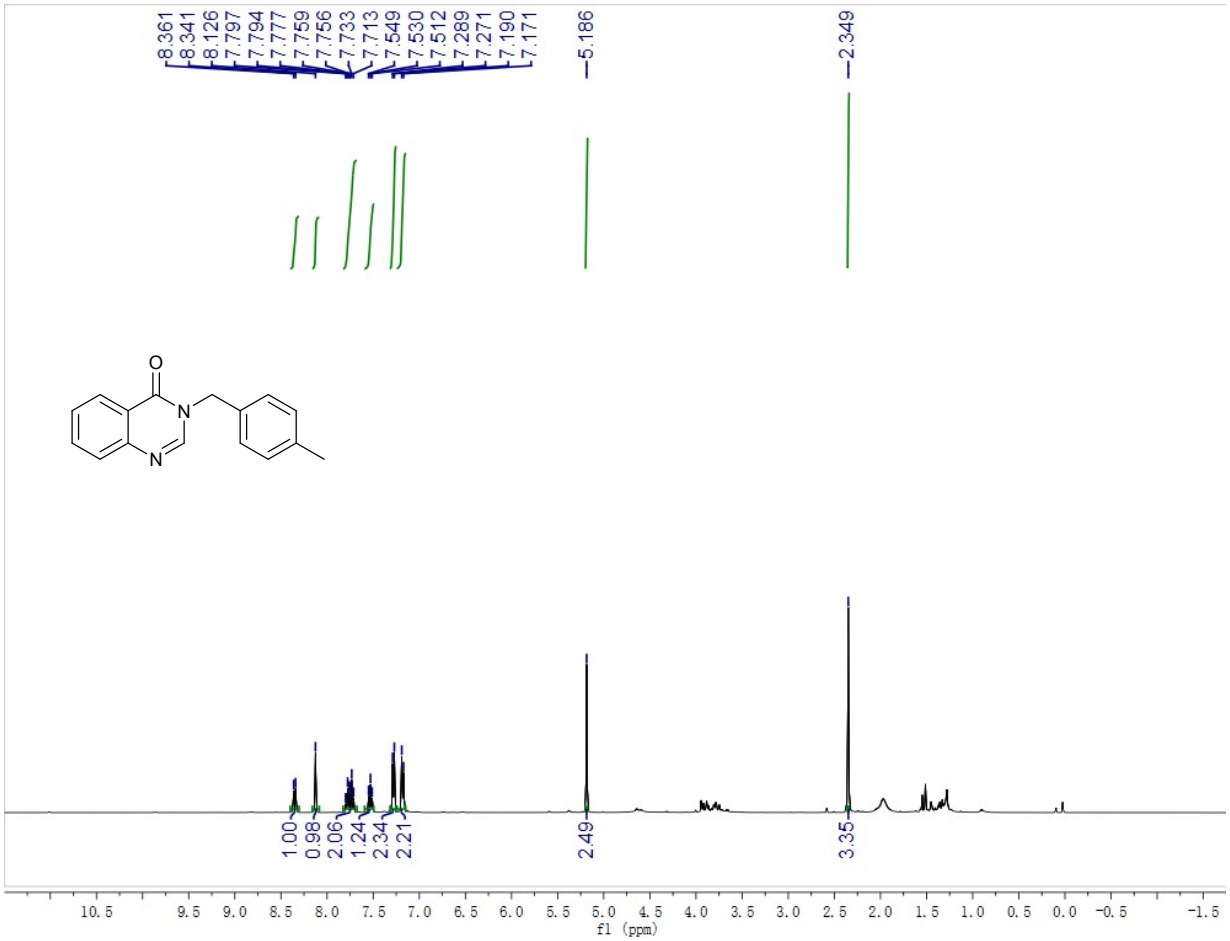


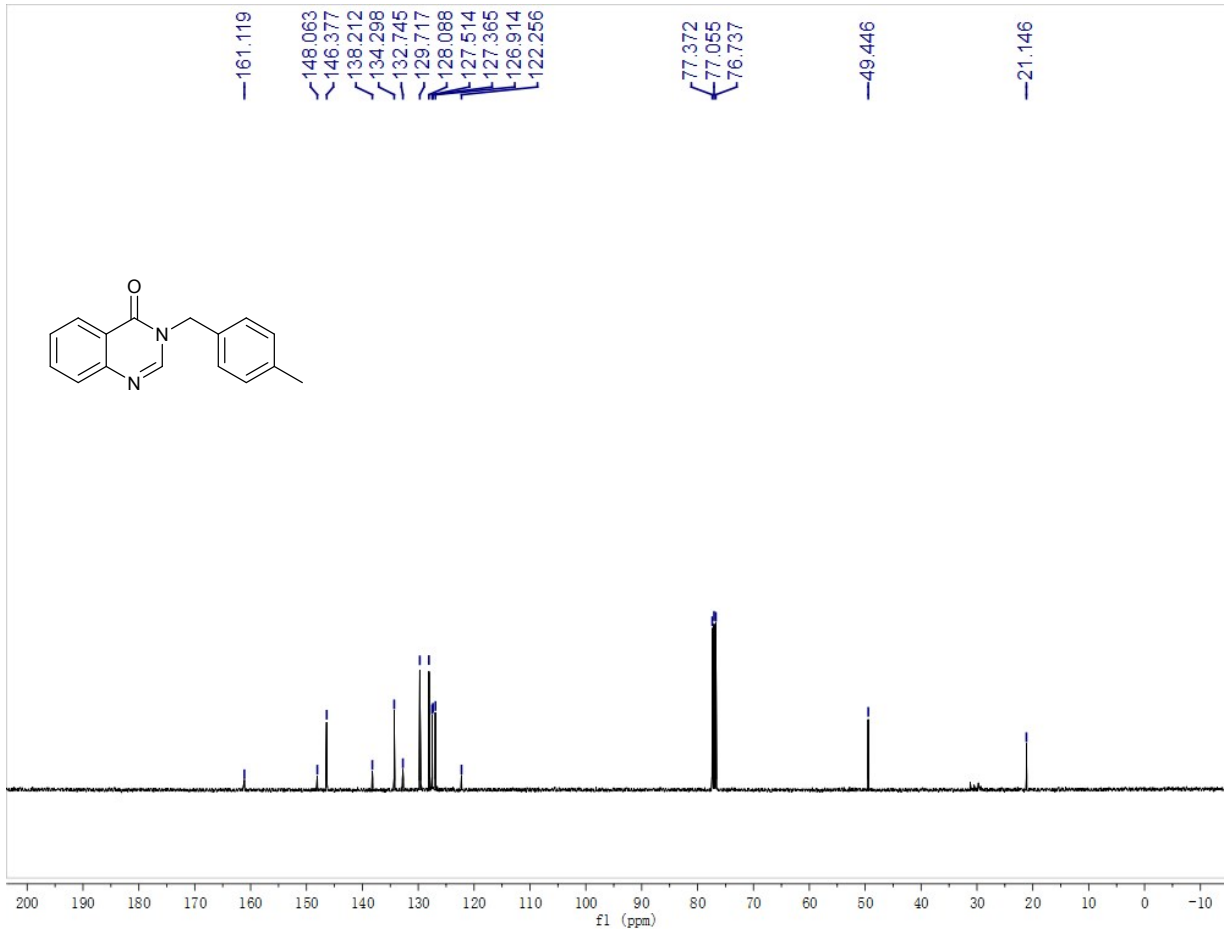
3-benzylquinazolin-4(3*H*)-one **5l**



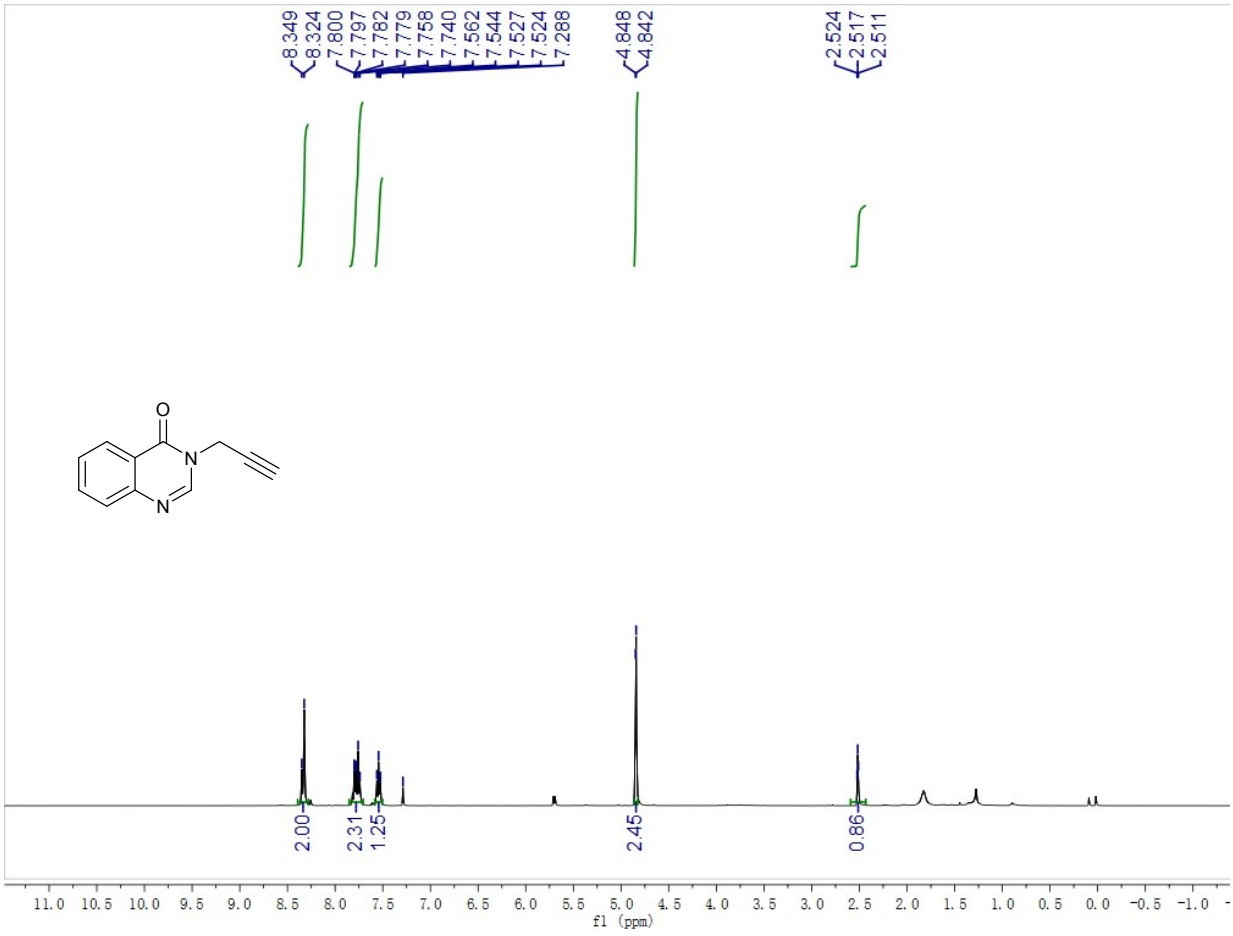


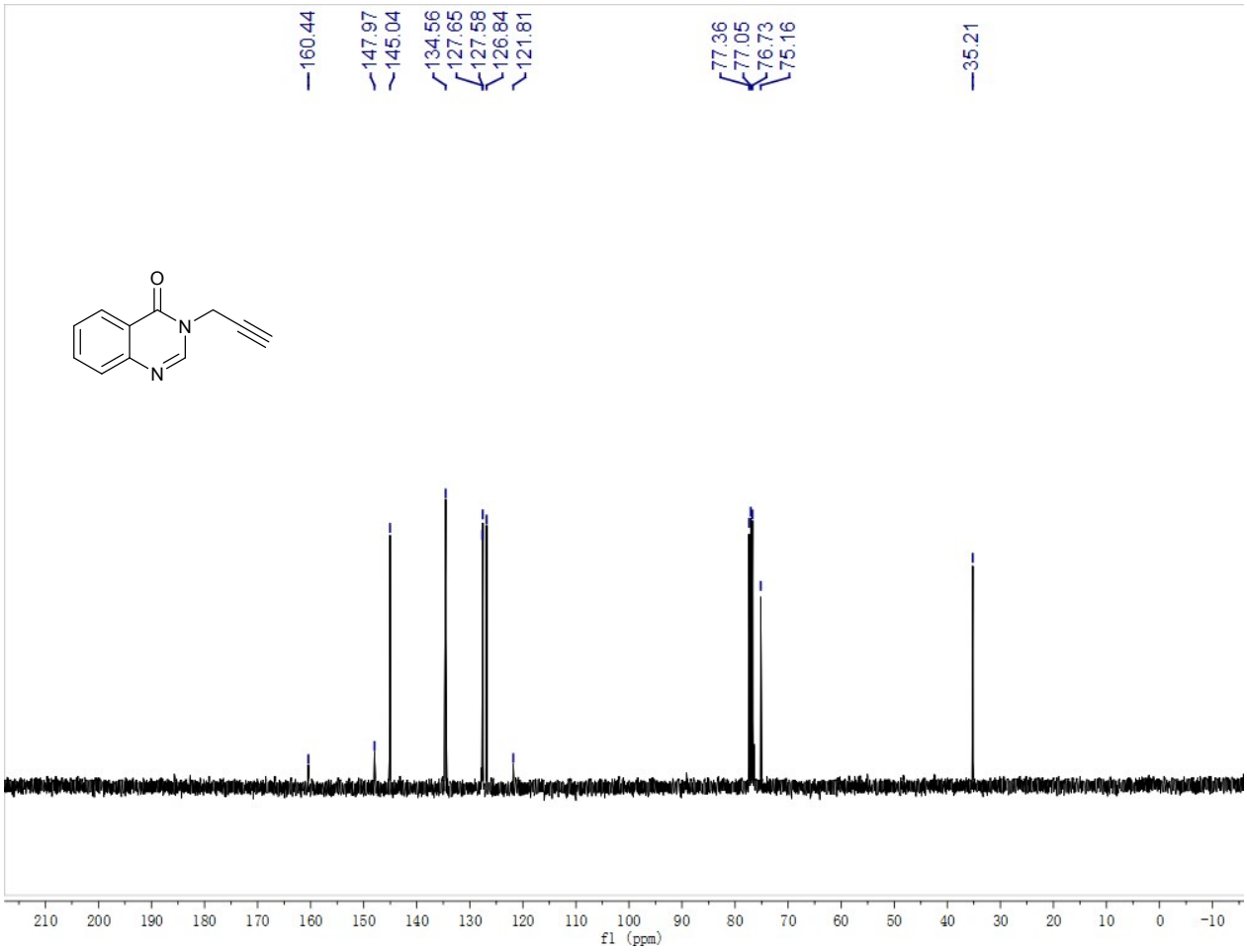
3-(4-methylbenzyl)quinazolin-4(3*H*)-one **5m**



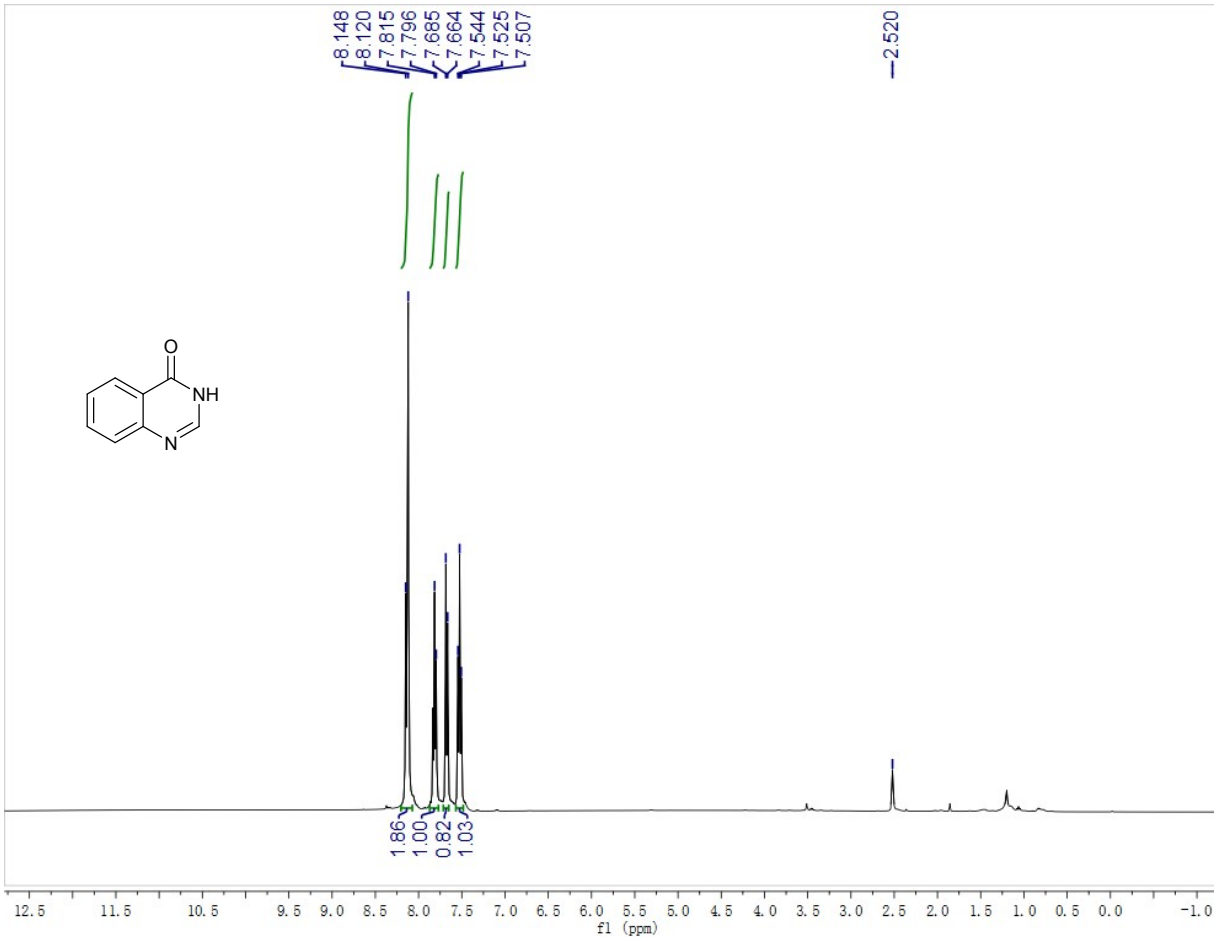


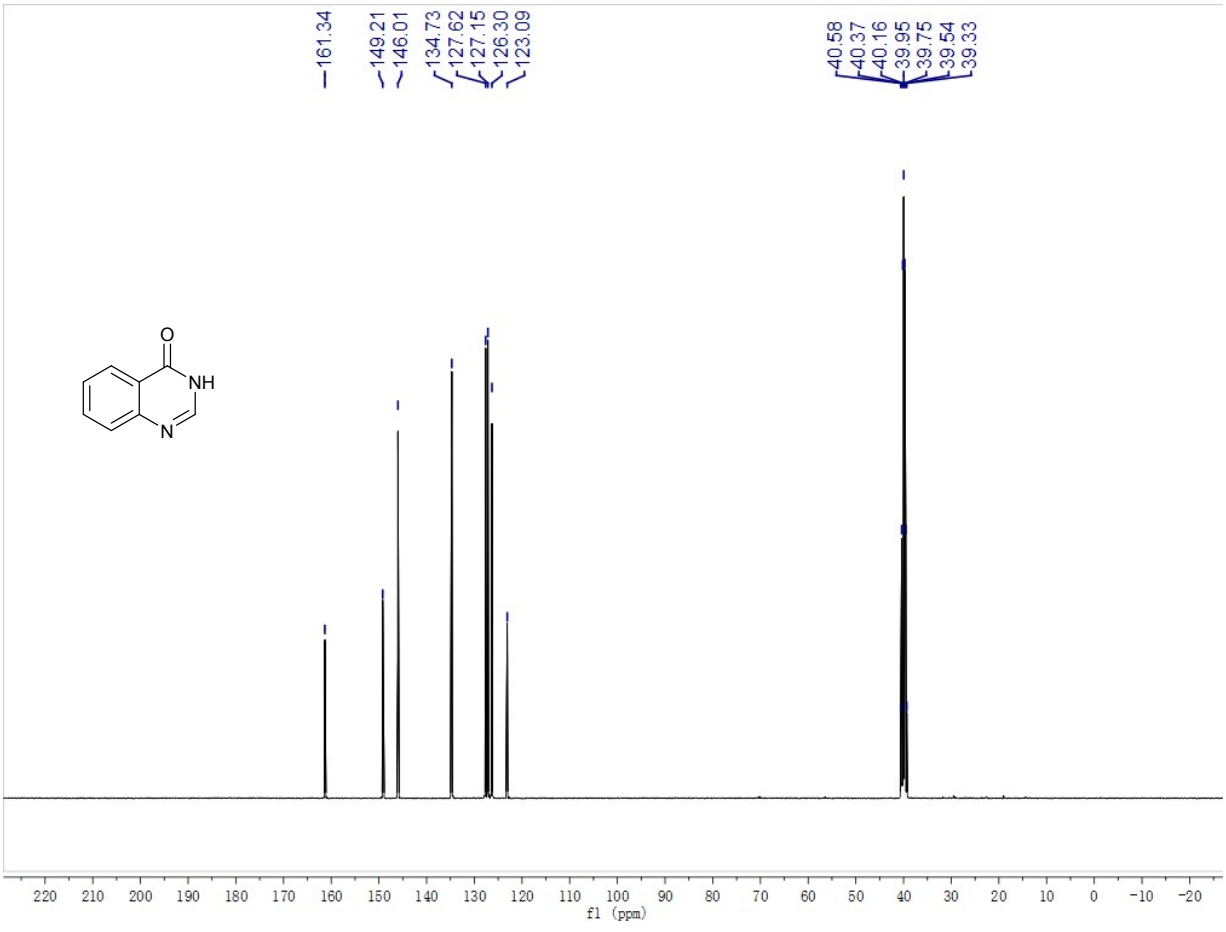
3-(prop-2-yn-1-yl)quinazolin-4(3*H*)-one **5n**



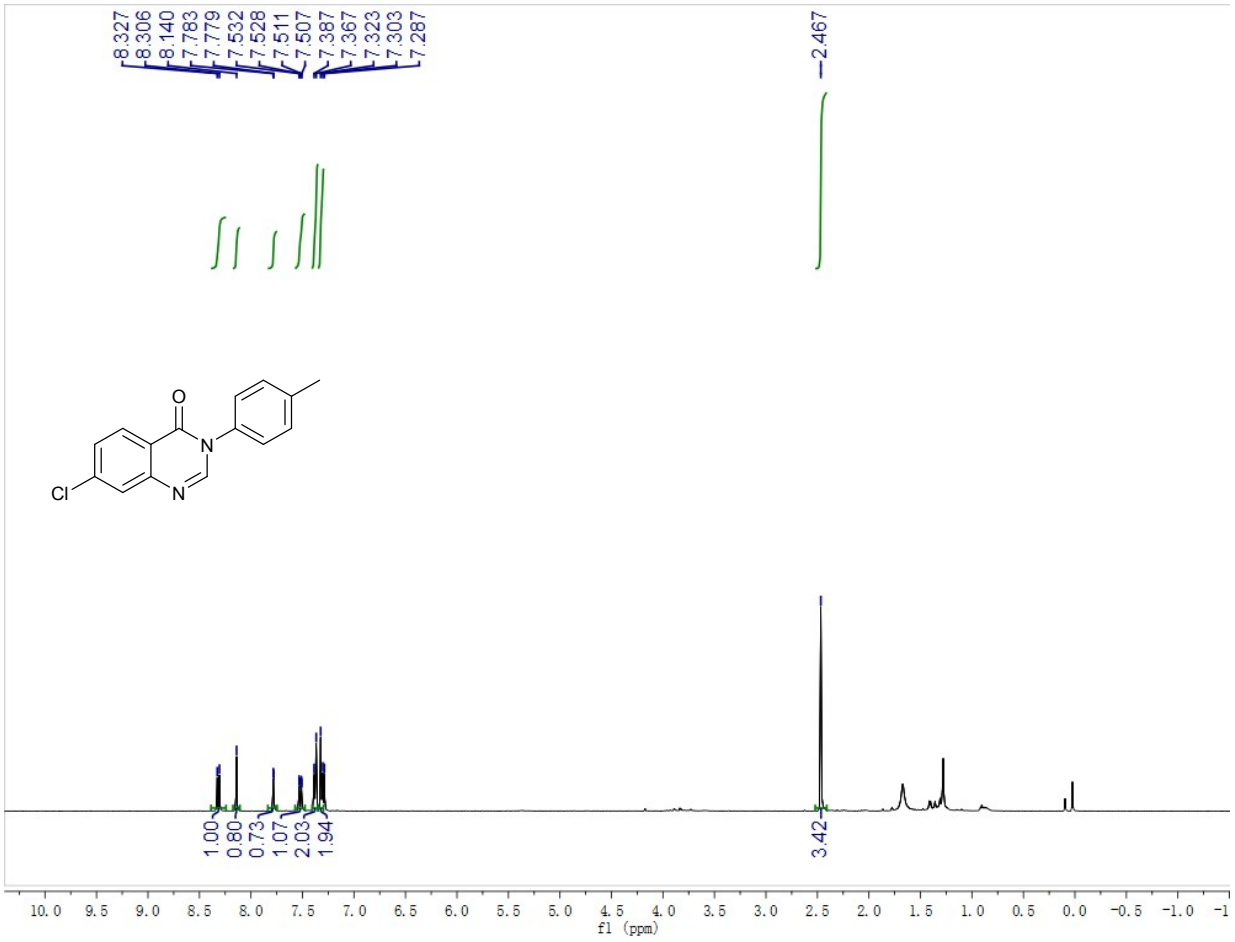


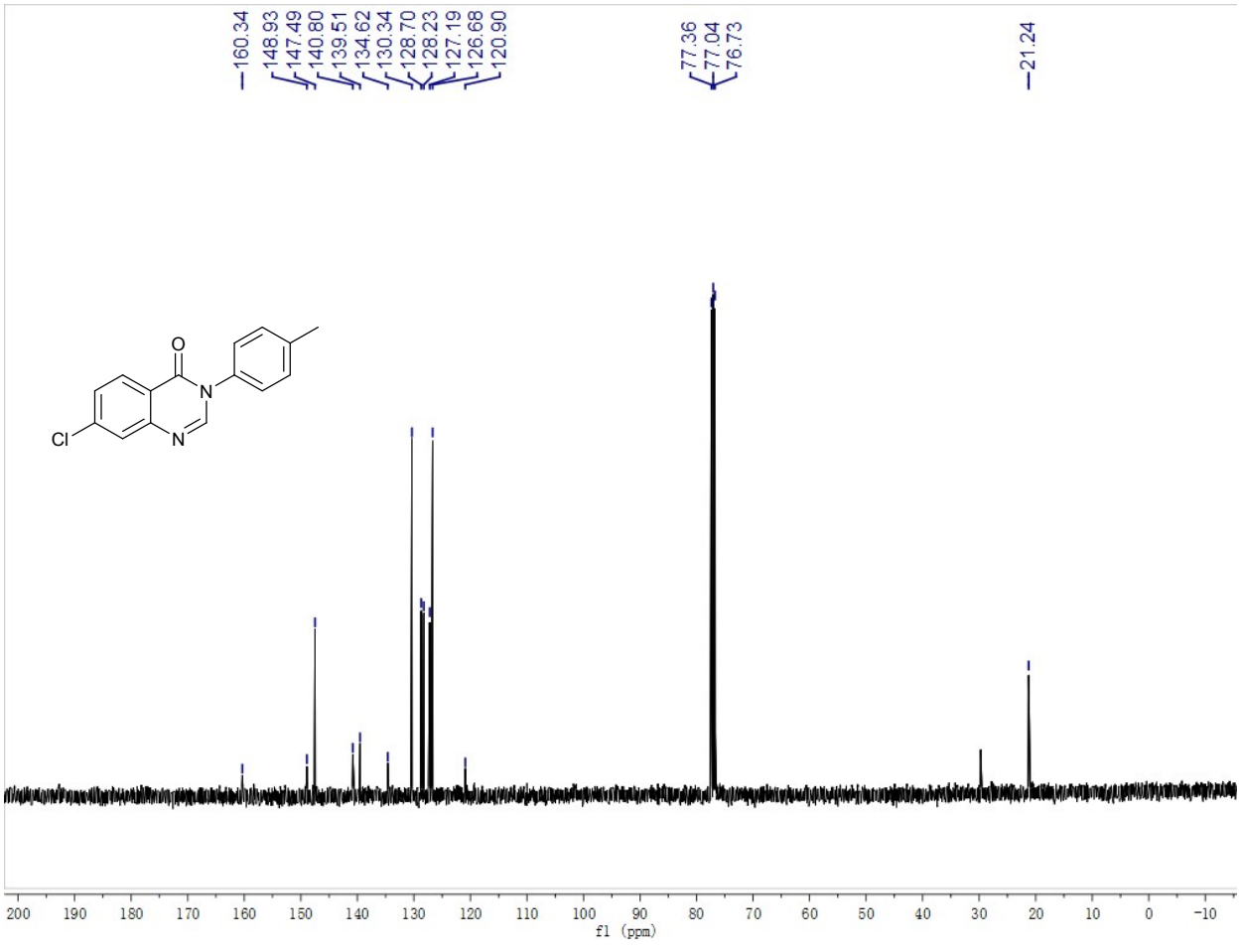
quinazolin-4(3*H*)-one **5o**



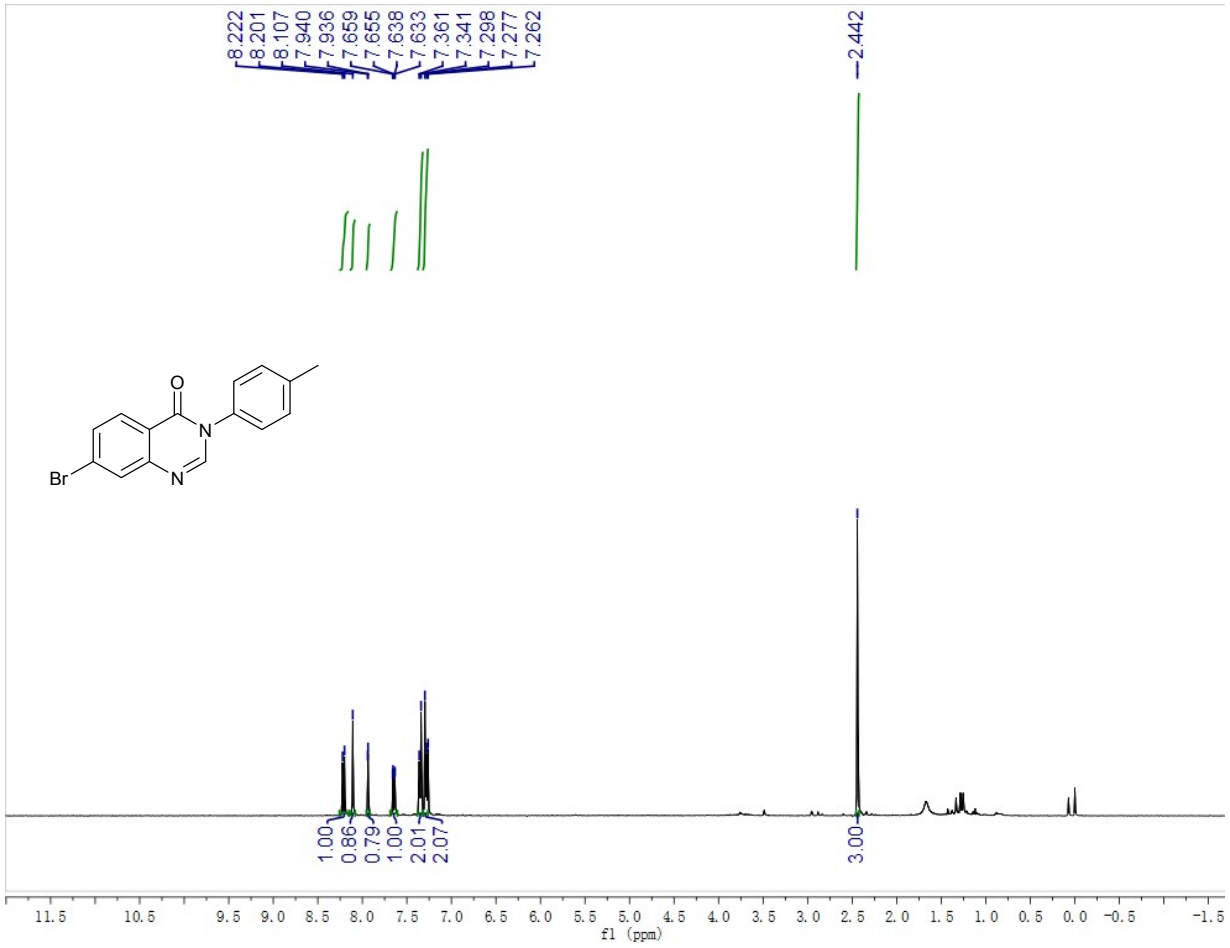


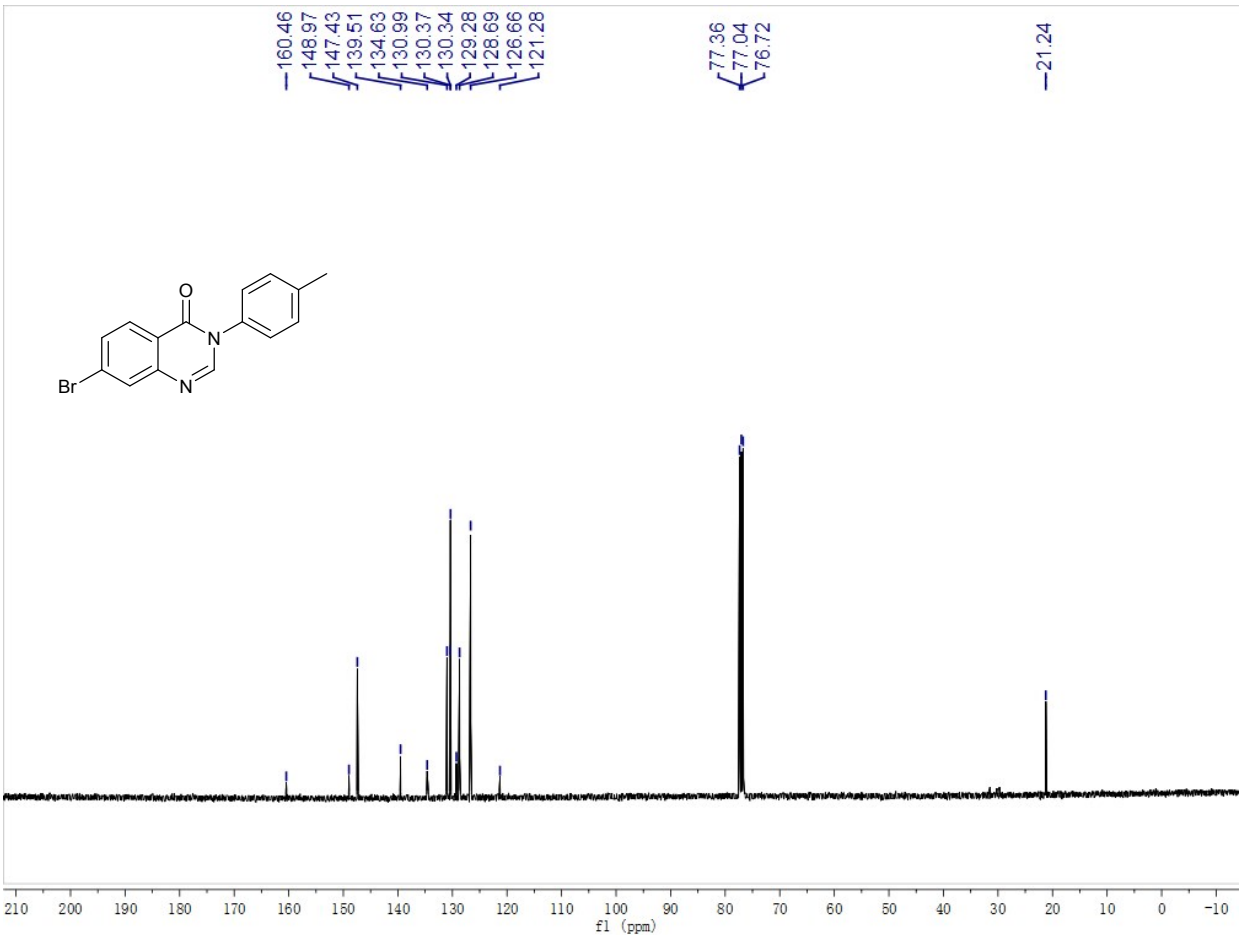
7-chloro-3-(*p*-tolyl)quinazolin-4(3*H*)-one **5p**



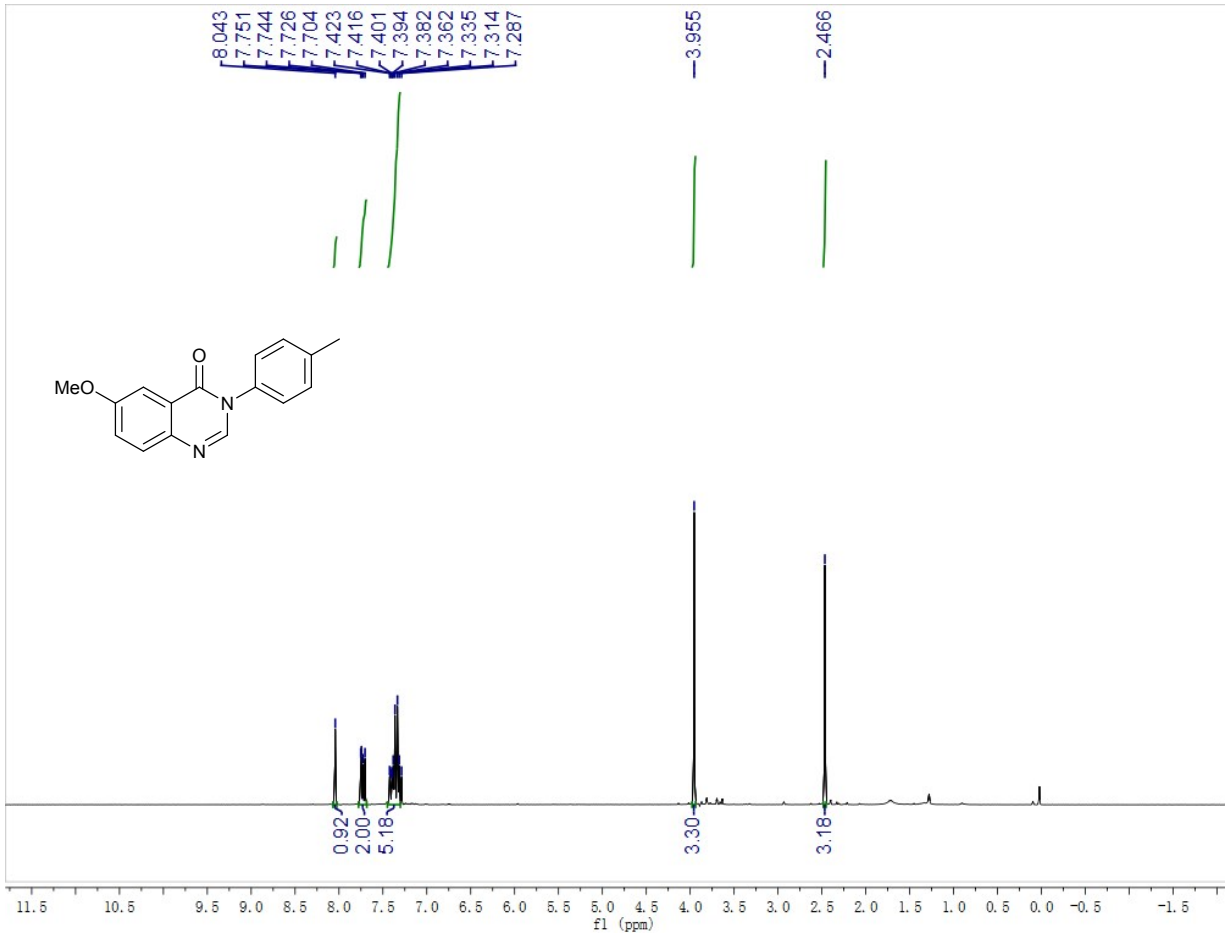


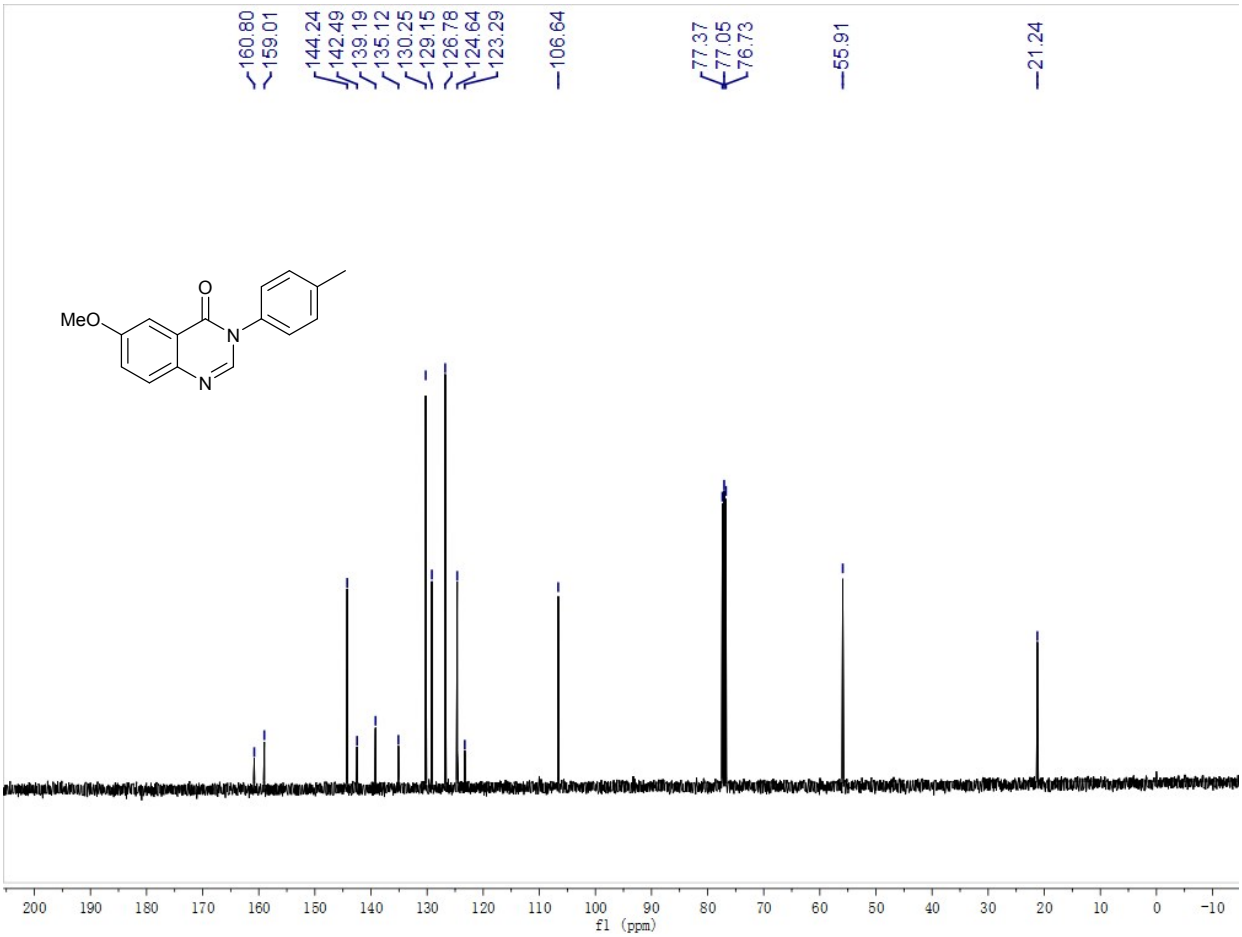
7-bromo-3-(*p*-tolyl)quinazolin-4(3*H*)-one **5q**



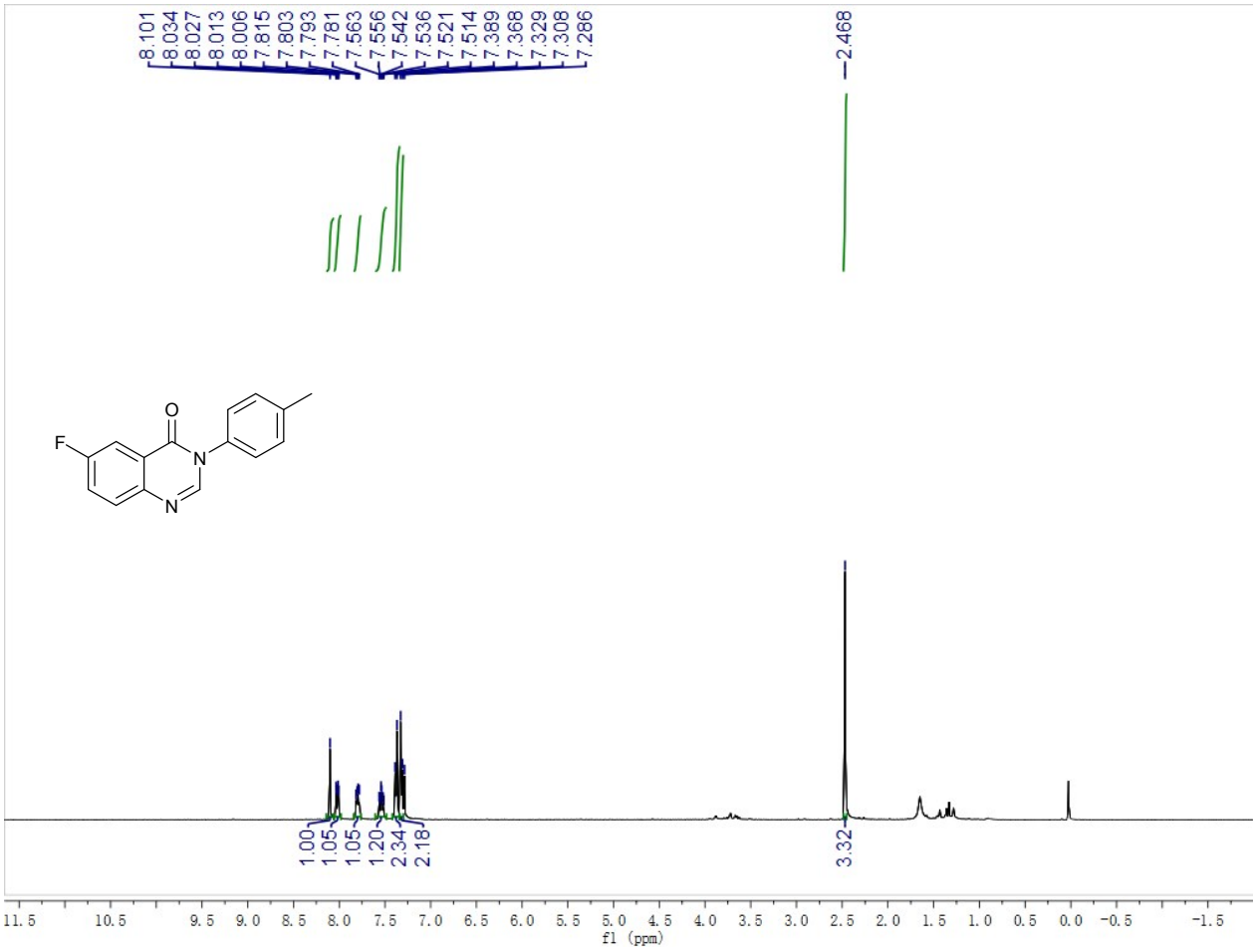


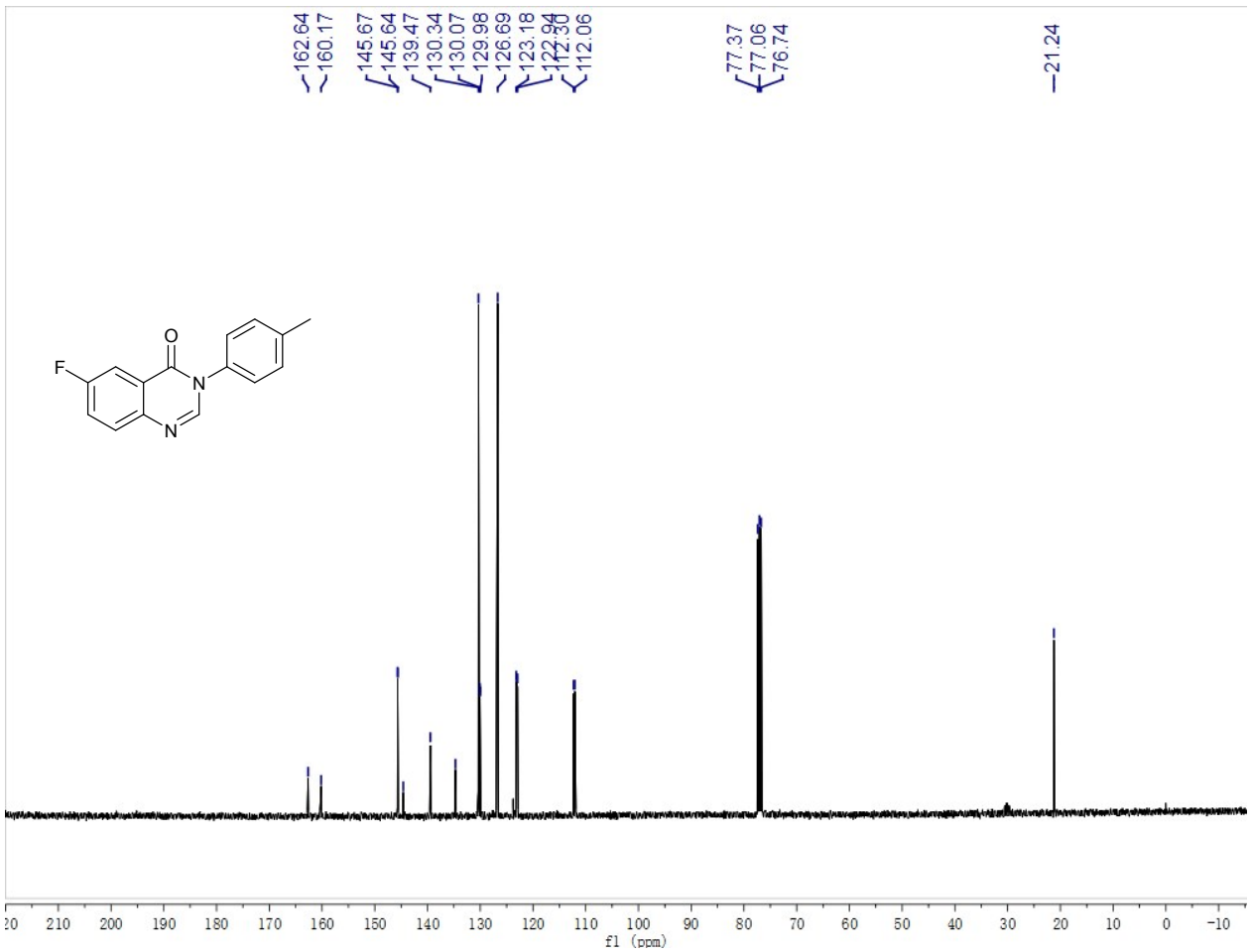
6-methoxy-3-(*p*-tolyl)quinazolin-4(3*H*)-one **5r**



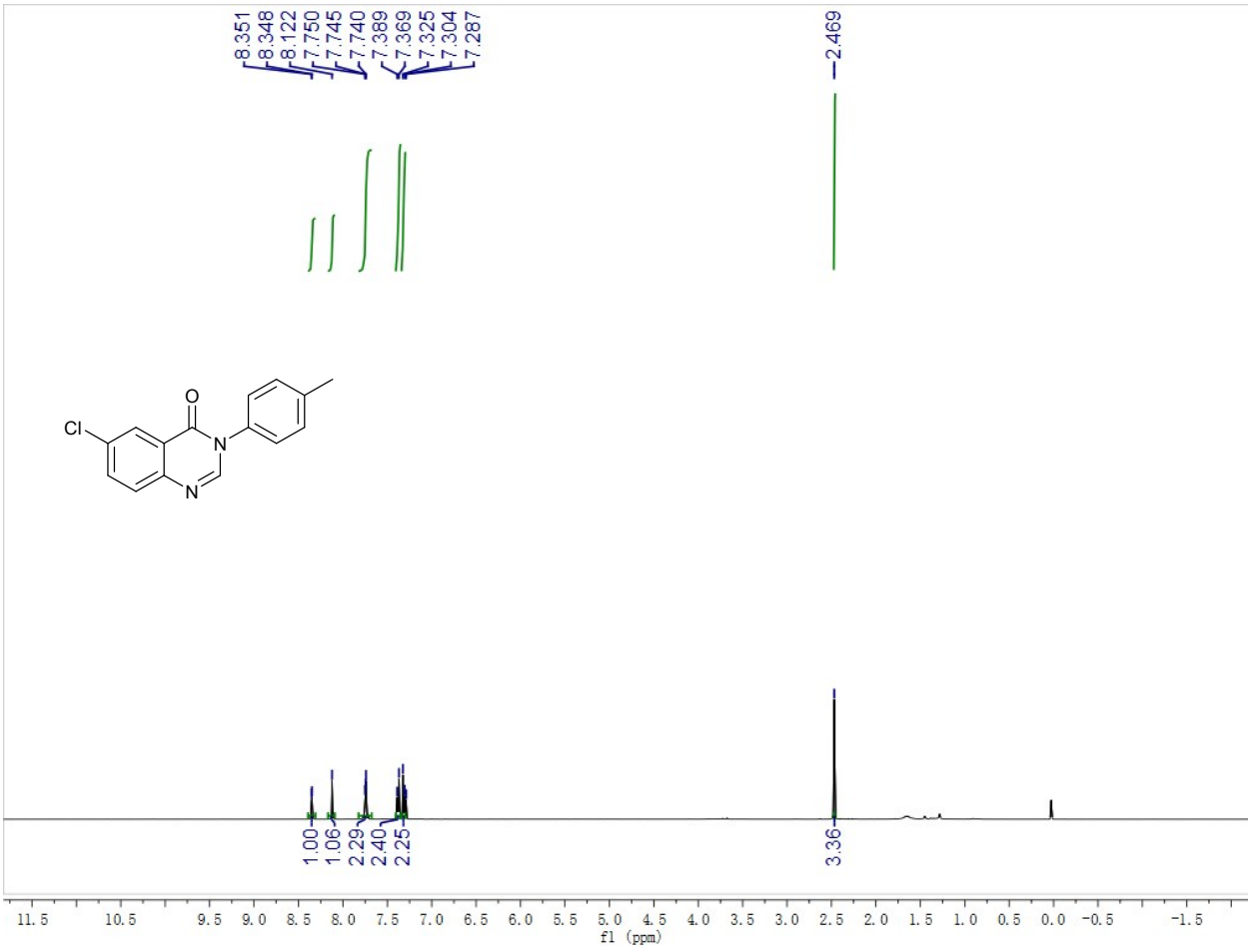


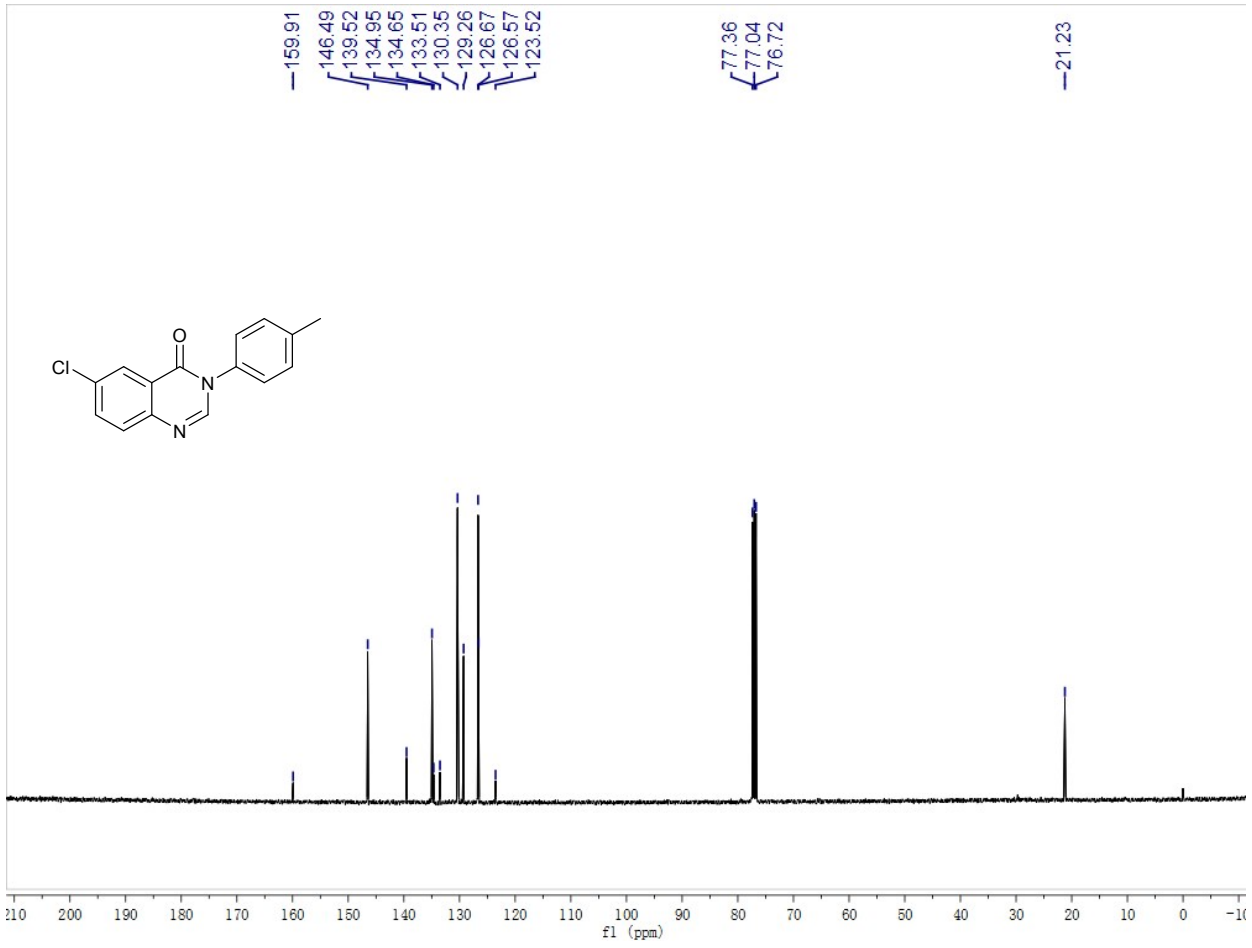
6-fluoro-3-(*p*-tolyl)quinazolin-4(3*H*)-one **5s**



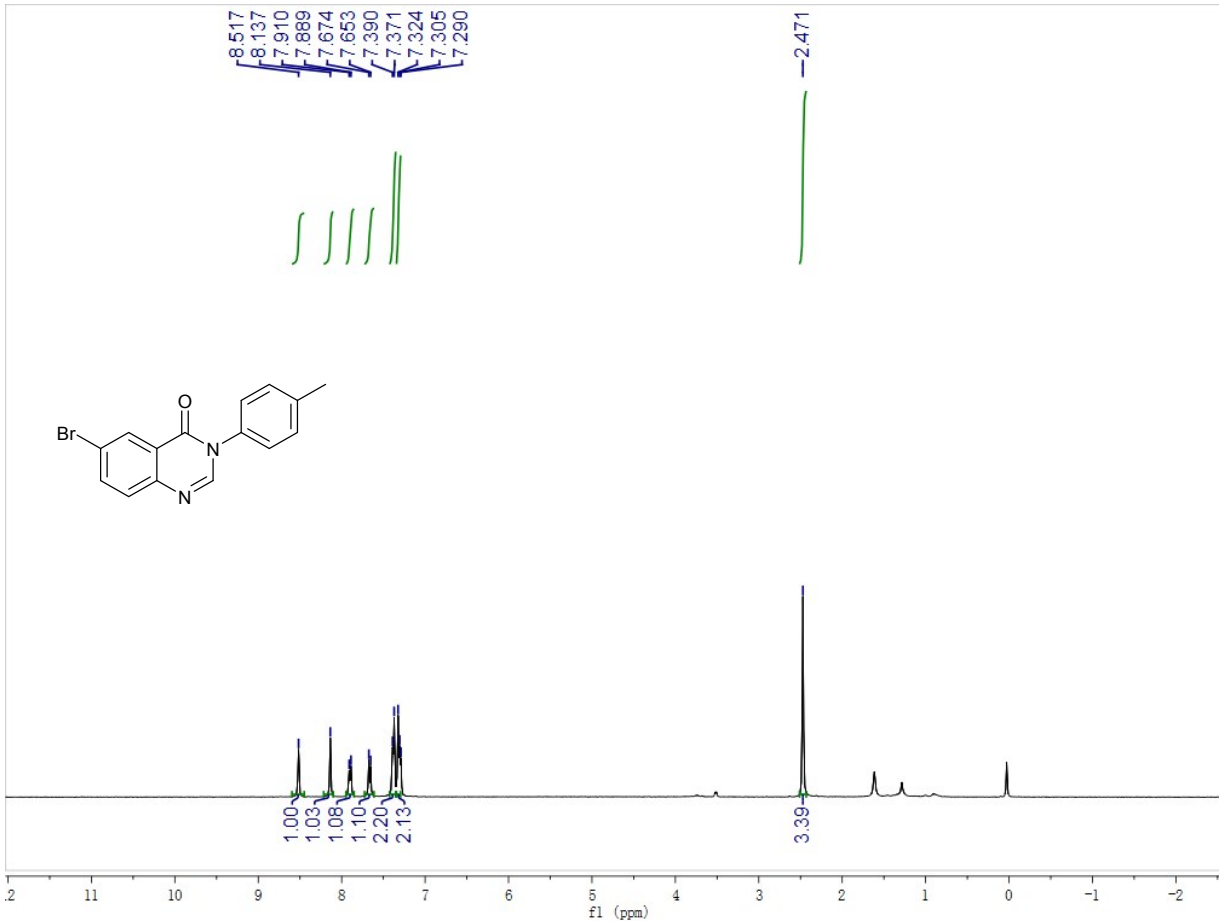


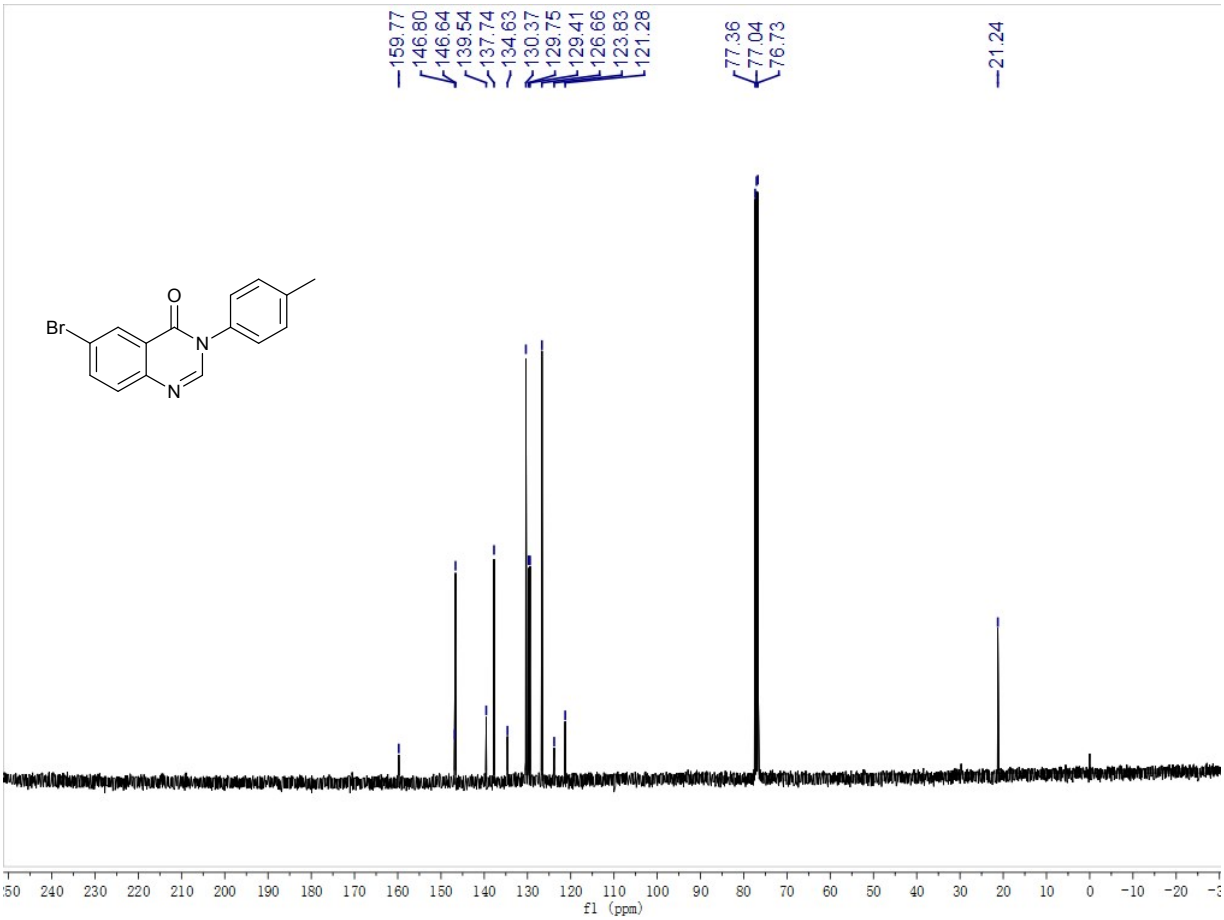
6-chloro-3-(*p*-tolyl)quinazolin-4(3*H*)-one **5t**



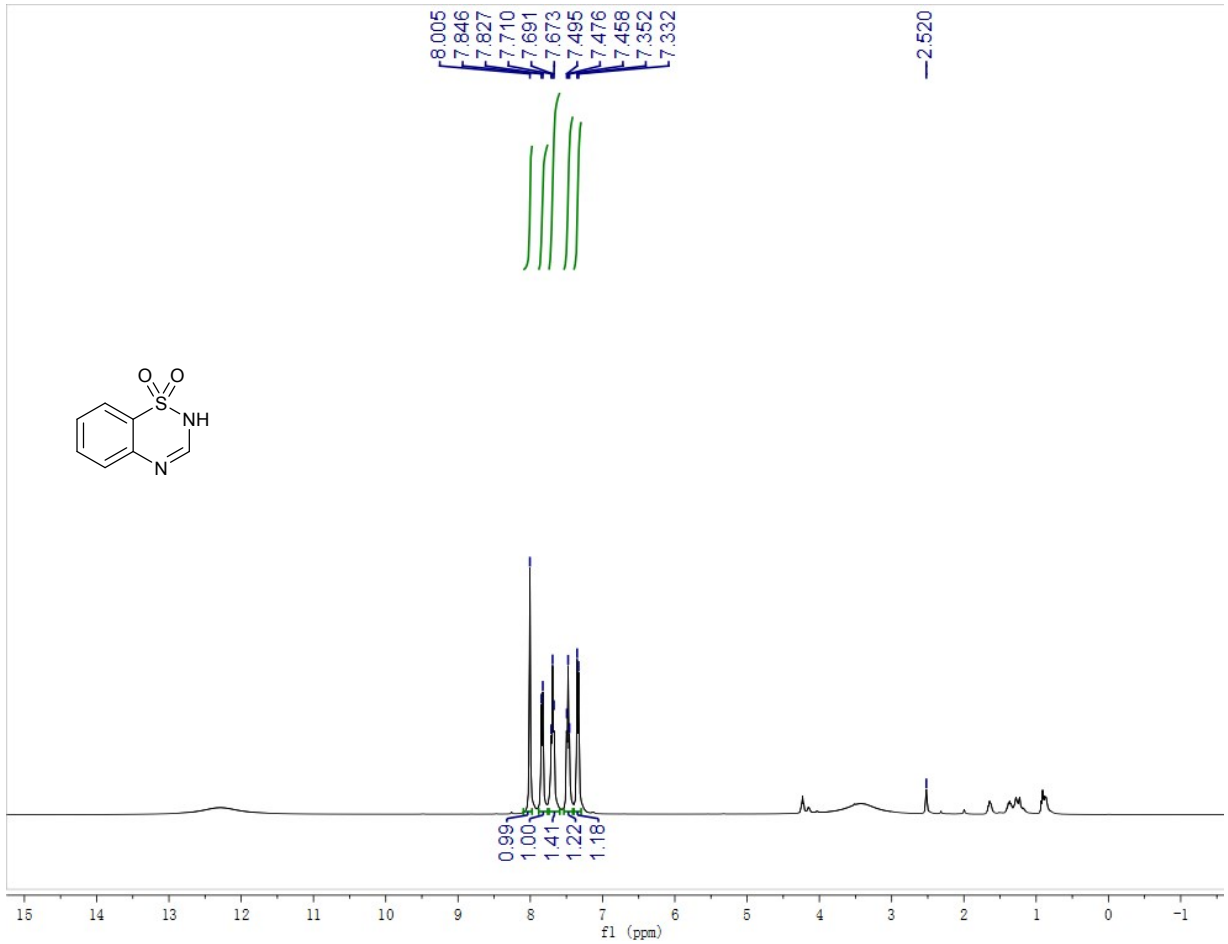


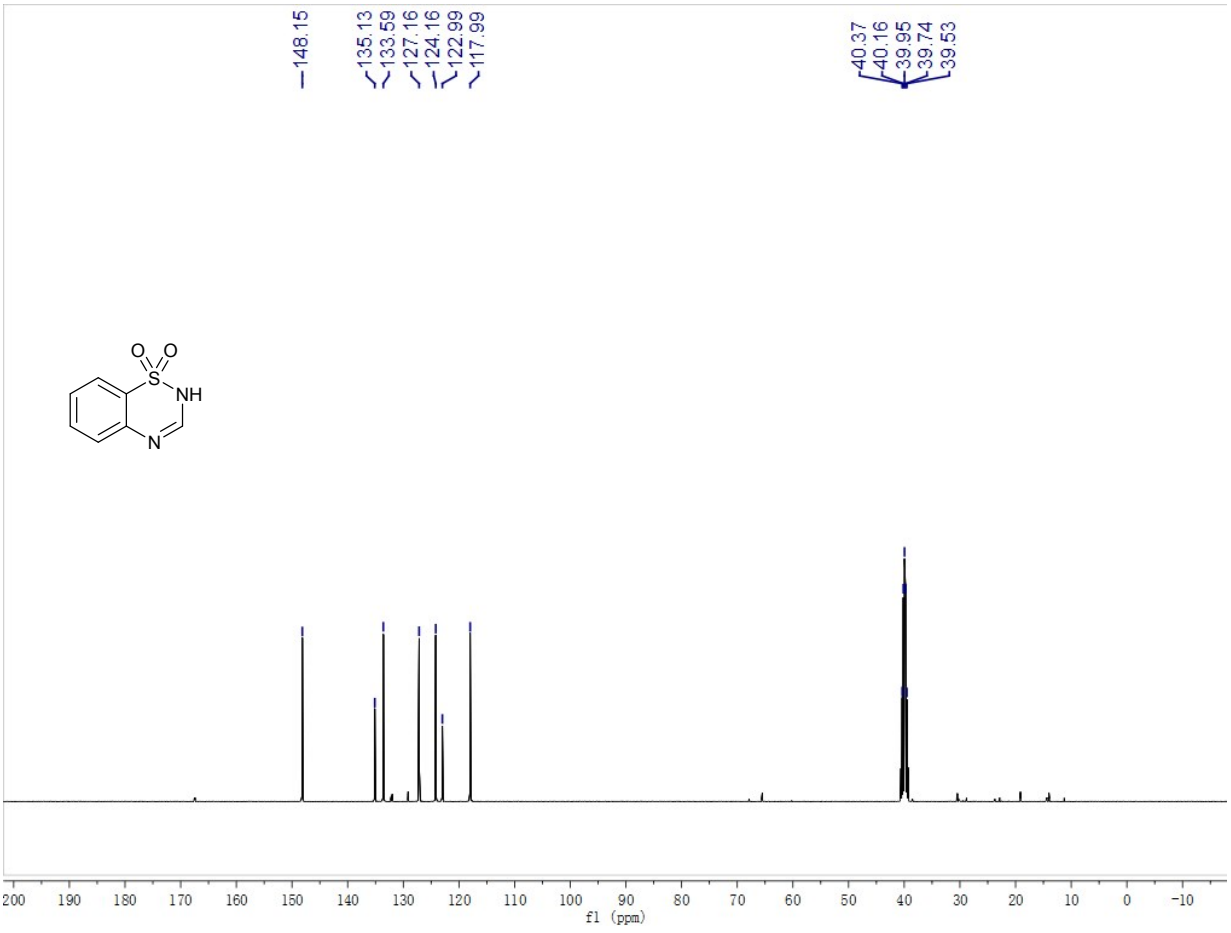
6-bromo-3-(*p*-tolyl)quinazolin-4(3*H*)-one **5u**



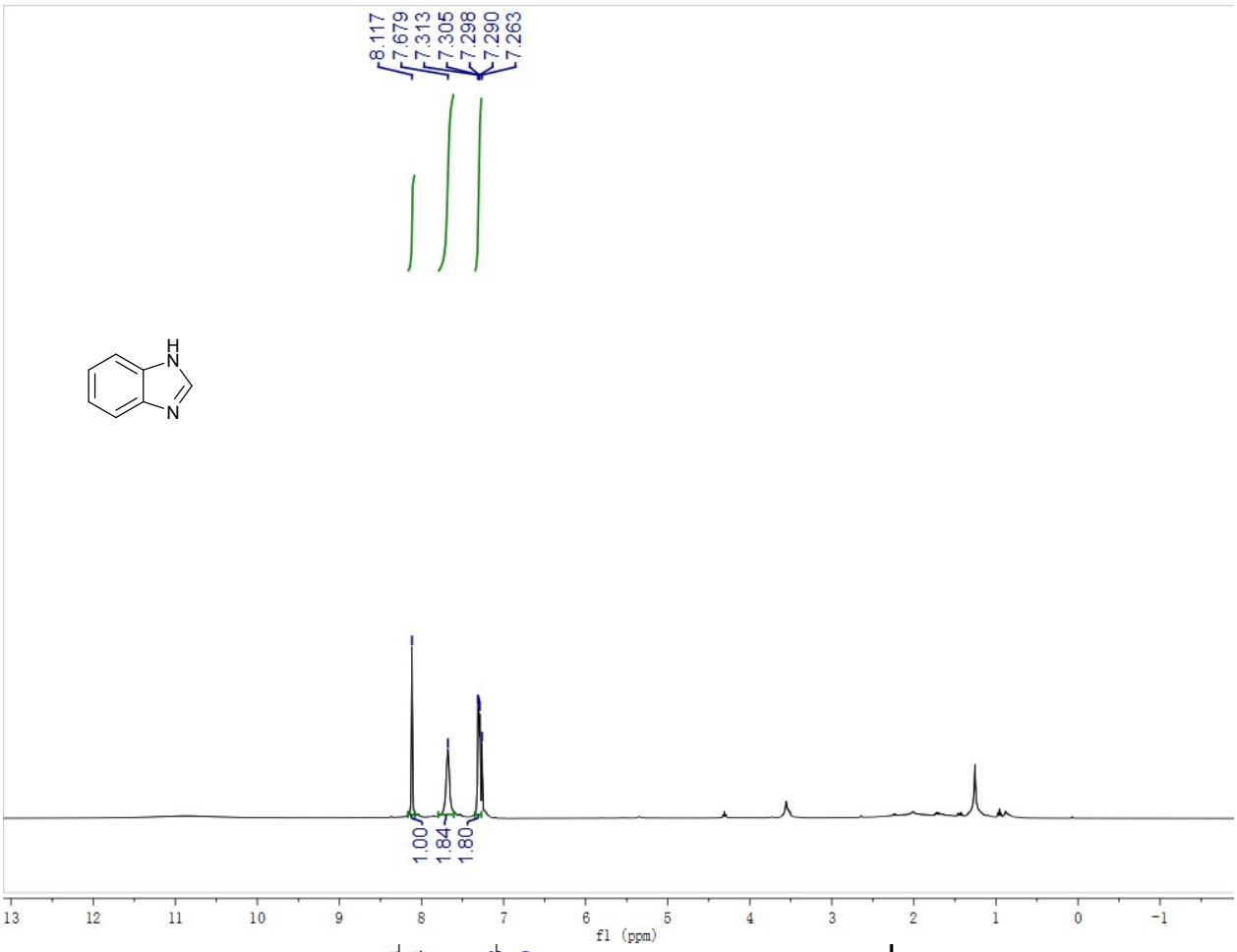


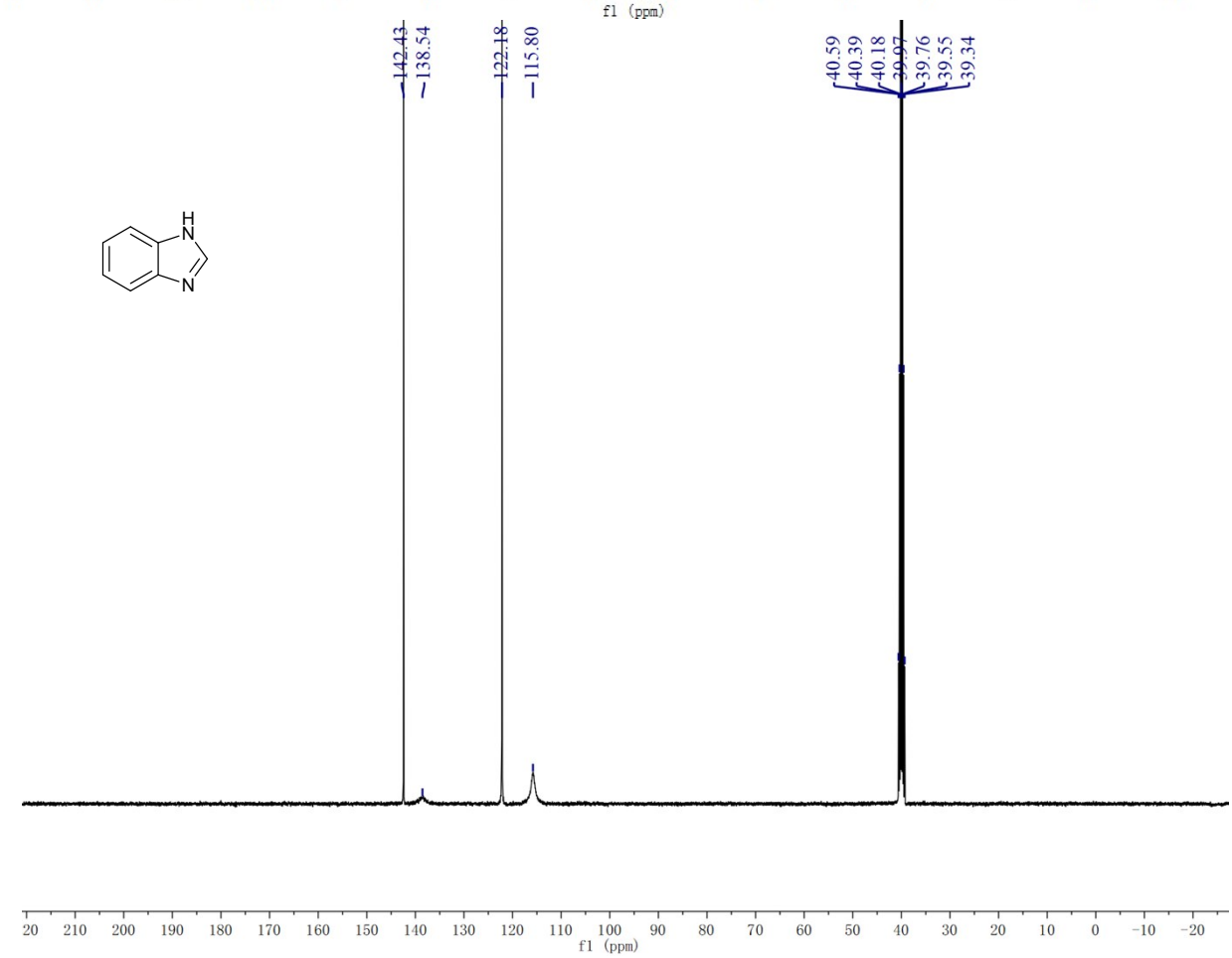
2*H*-benzo[*e*][1,2,4]thiadiazine 1,1-dioxide **5v**



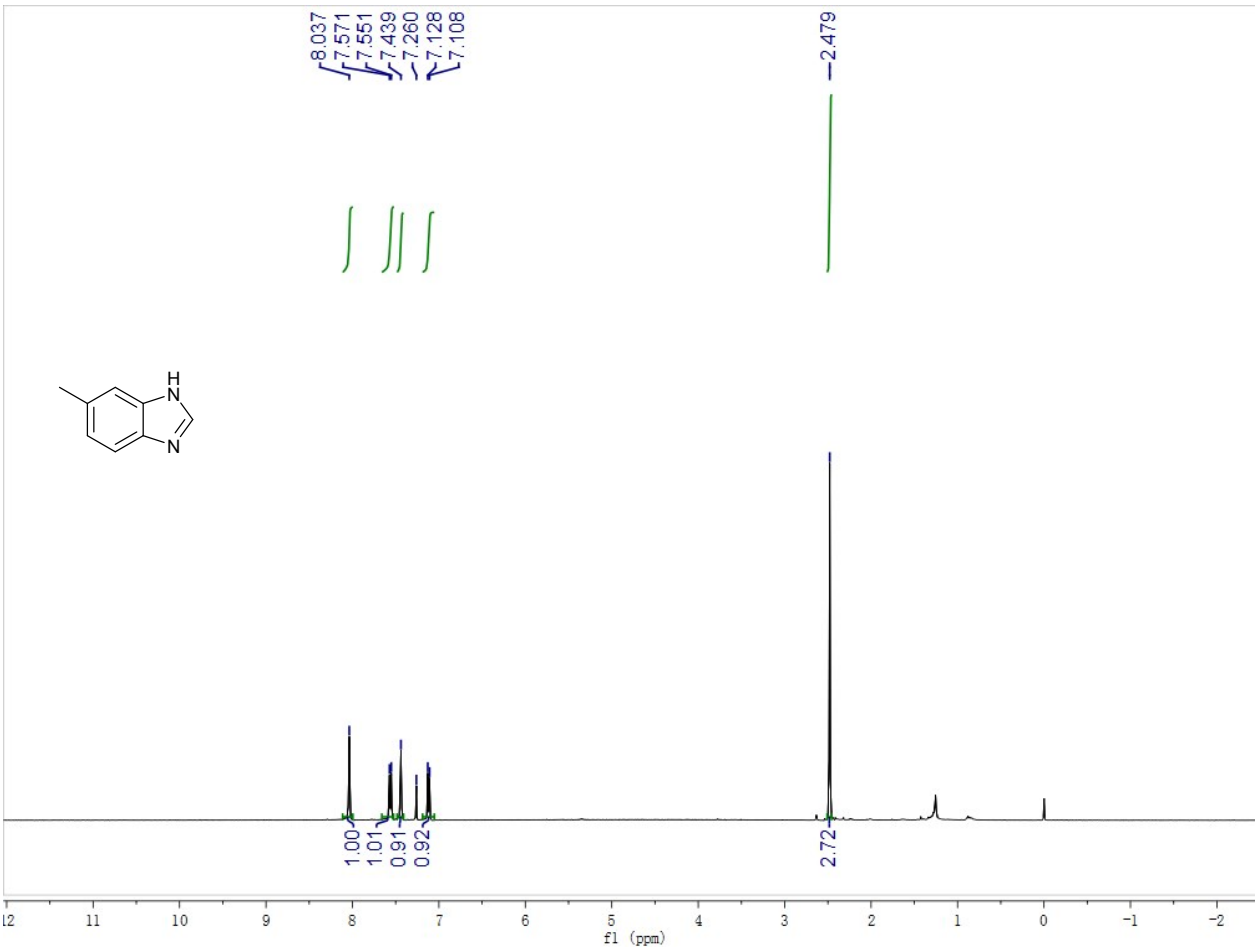


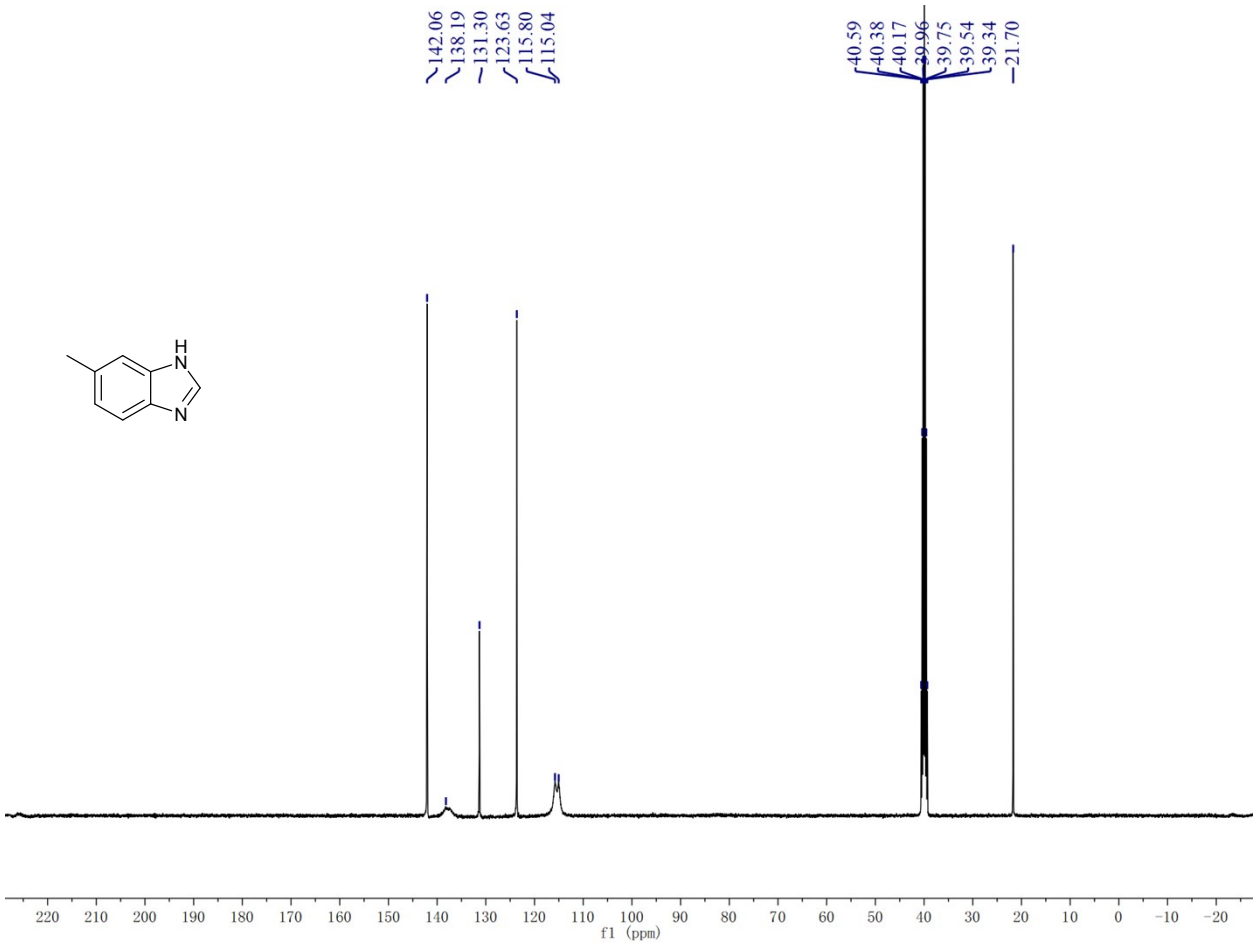
1*H*-benzo[*d*]imidazole **7a**



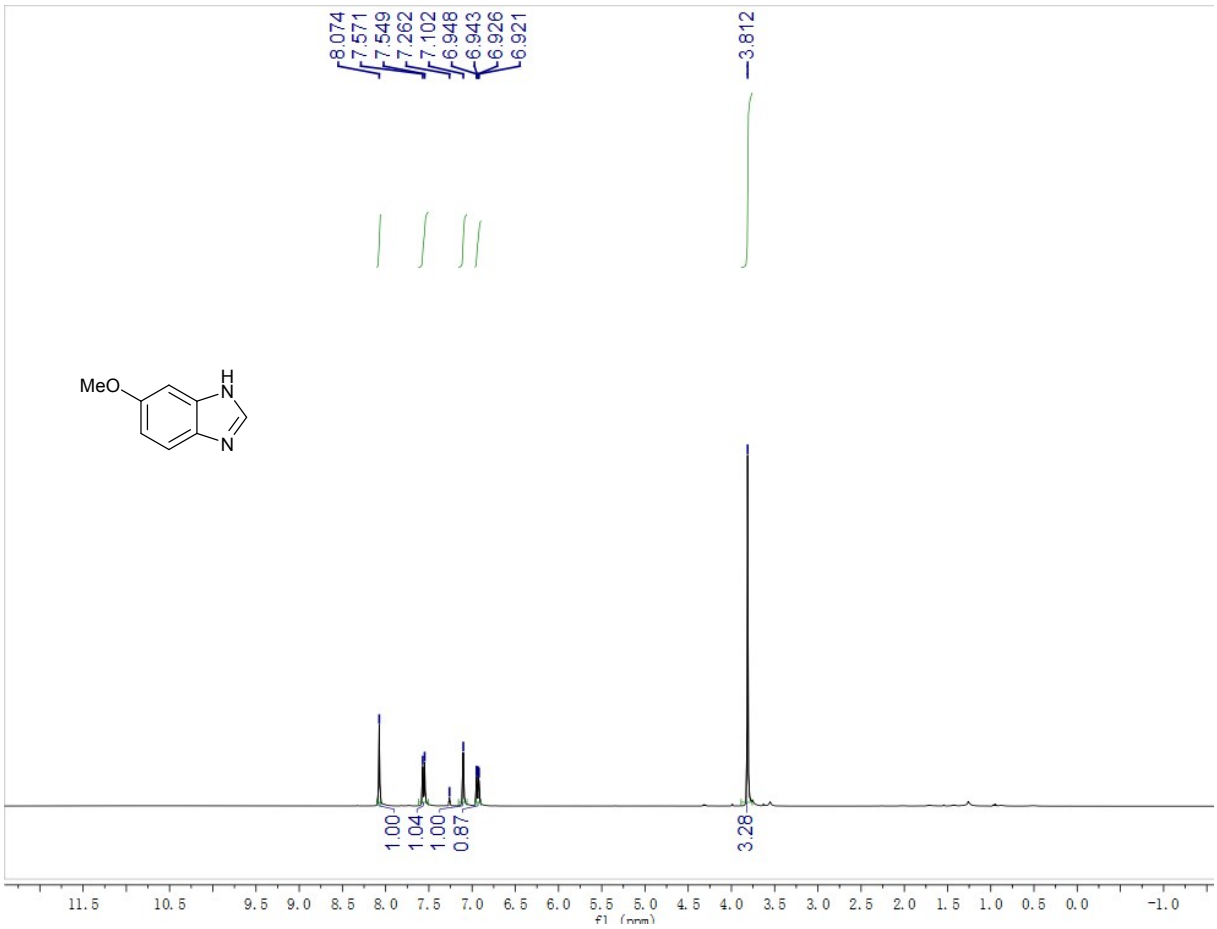


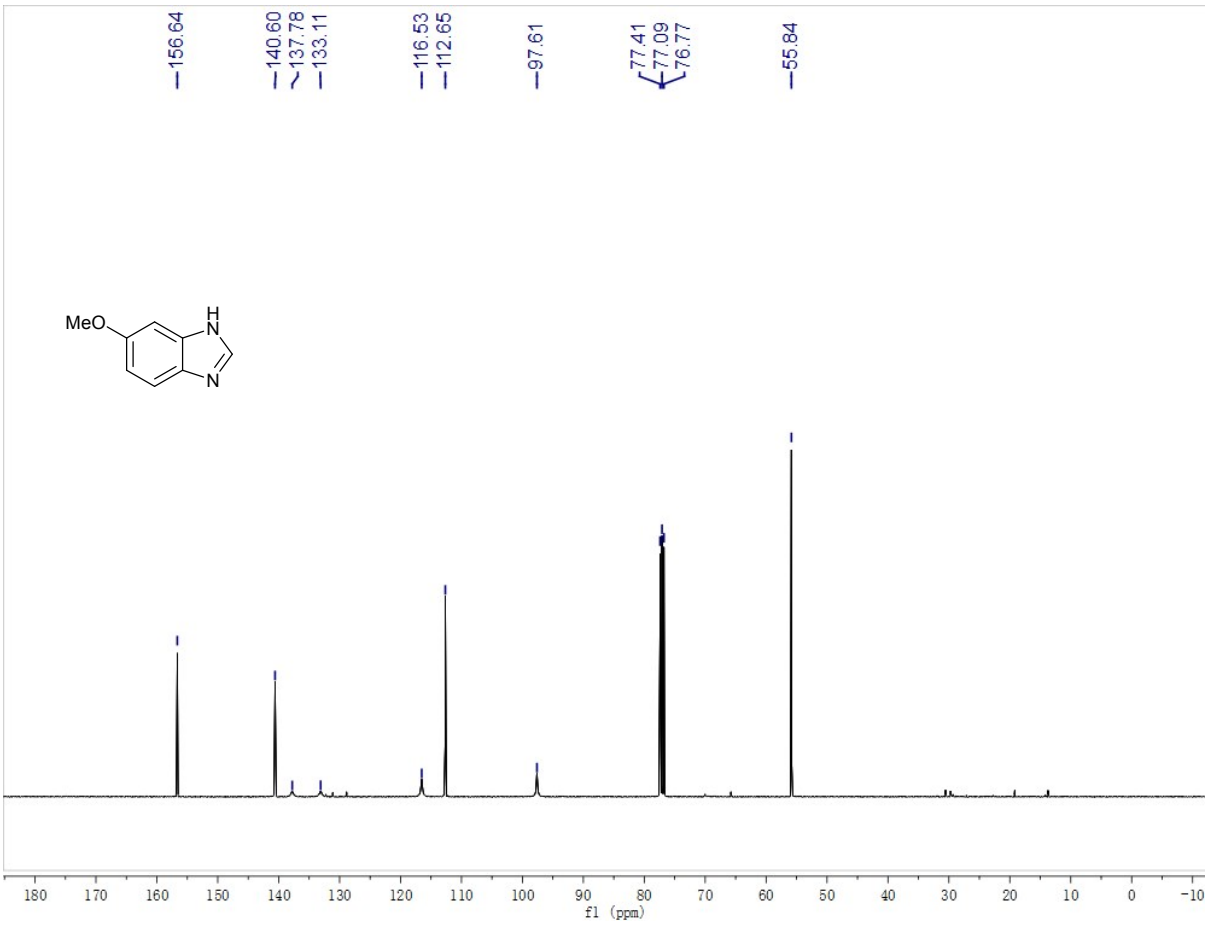
6-methyl-1*H*-benzo[*d*]imidazole **7b**



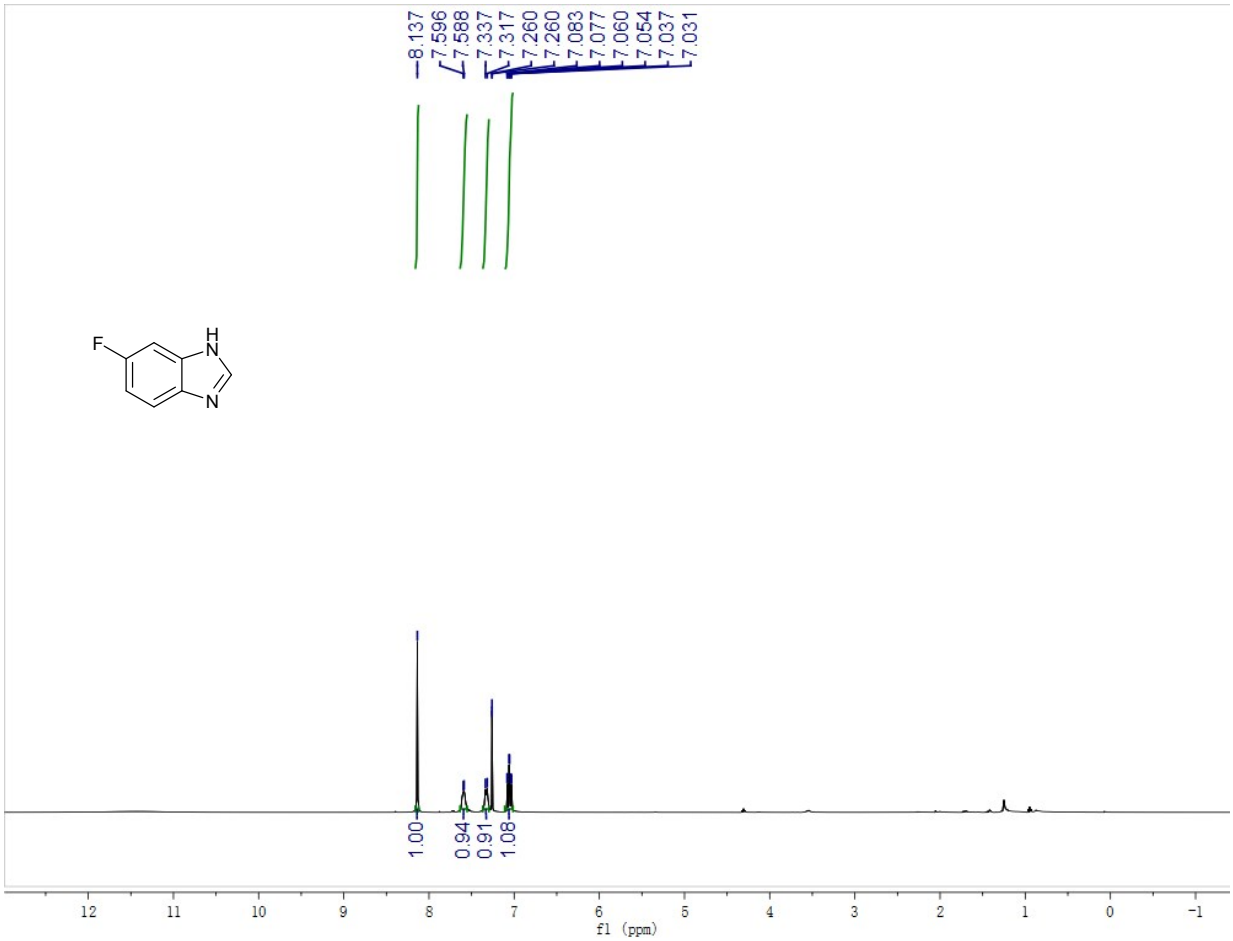


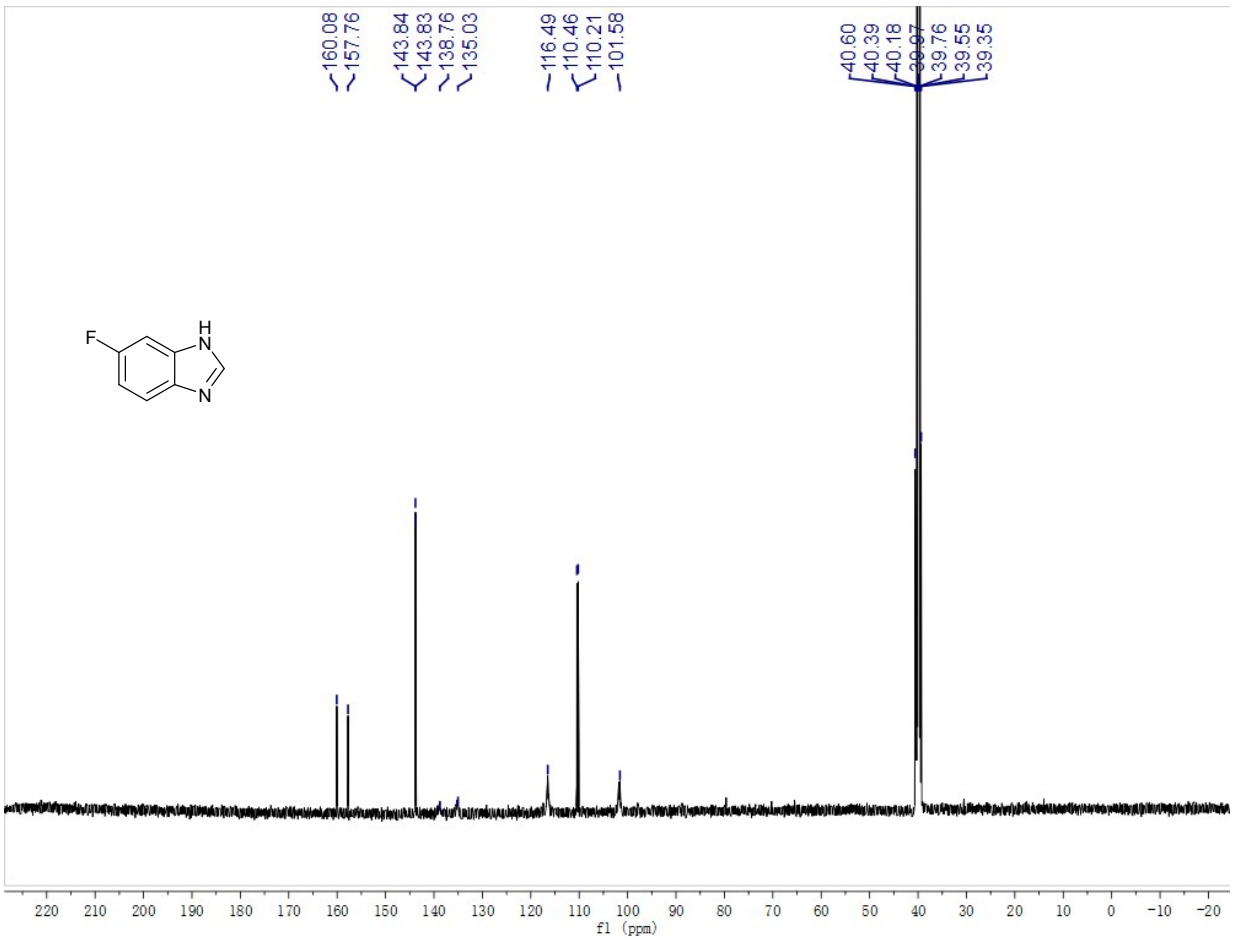
6-methoxy-1*H*-benzo[*d*]imidazole **7c**



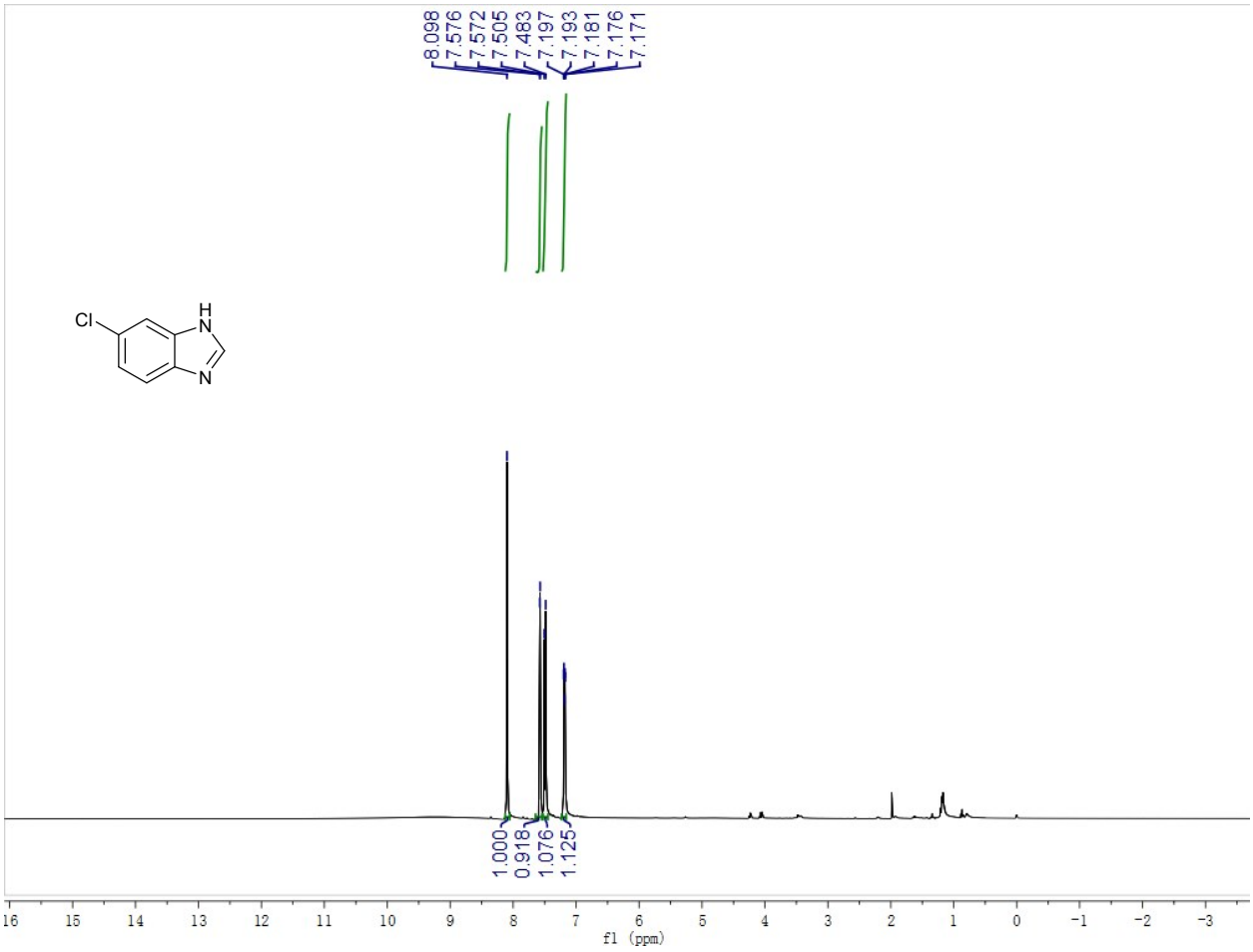


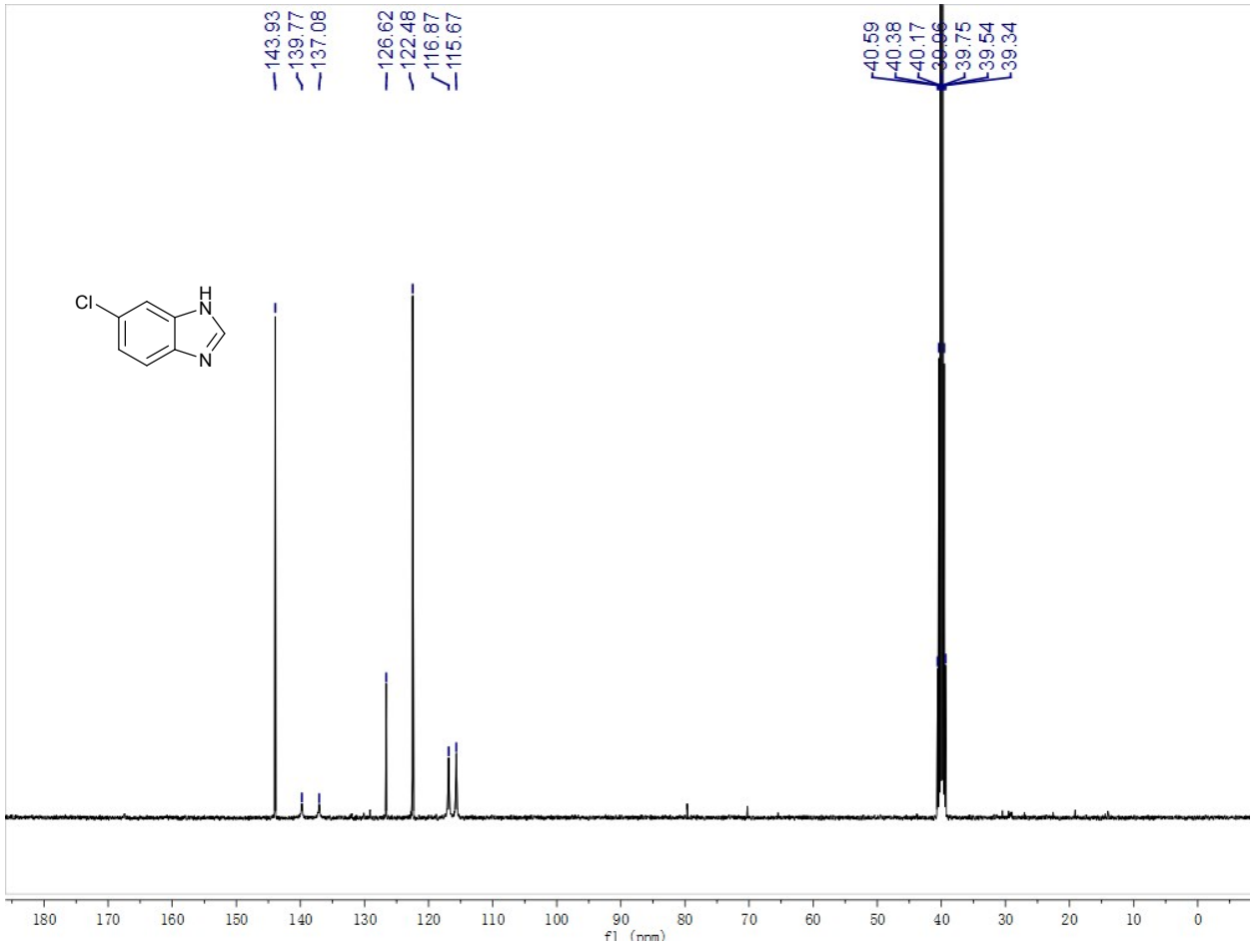
6-fluoro-1*H*-benzo[*d*]imidazole **7d**



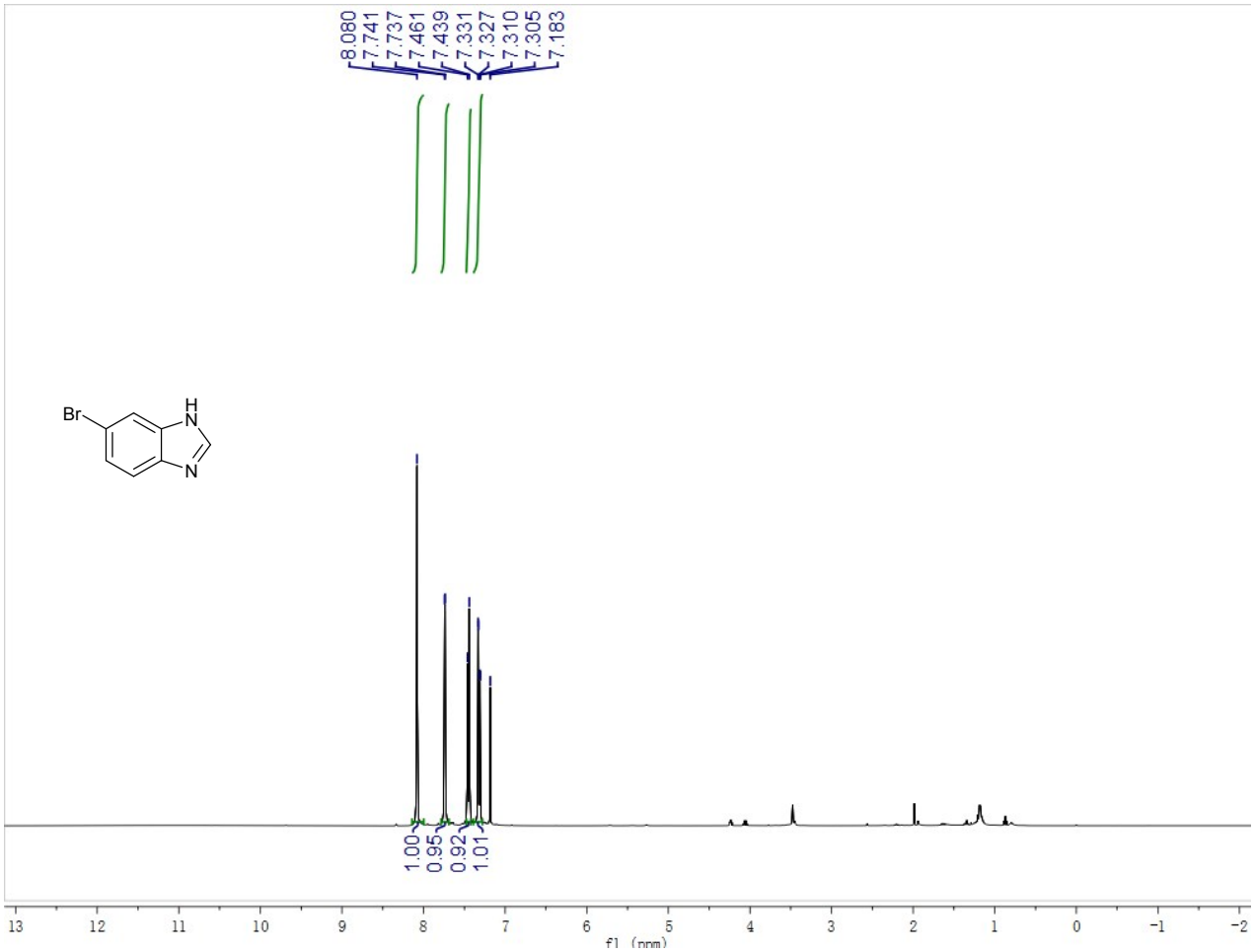


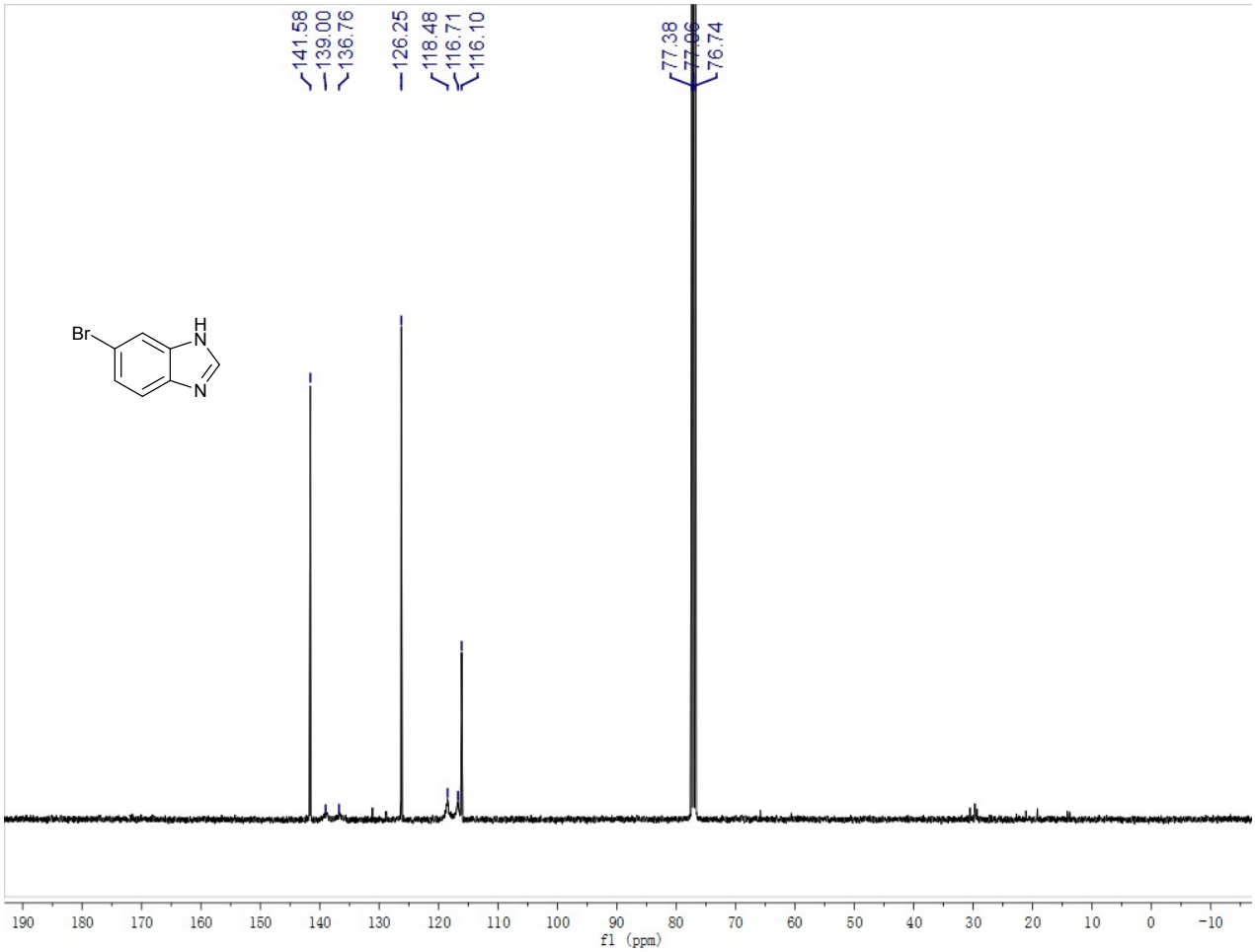
6-chloro-1*H*-benzo[*d*]imidazole **7e**



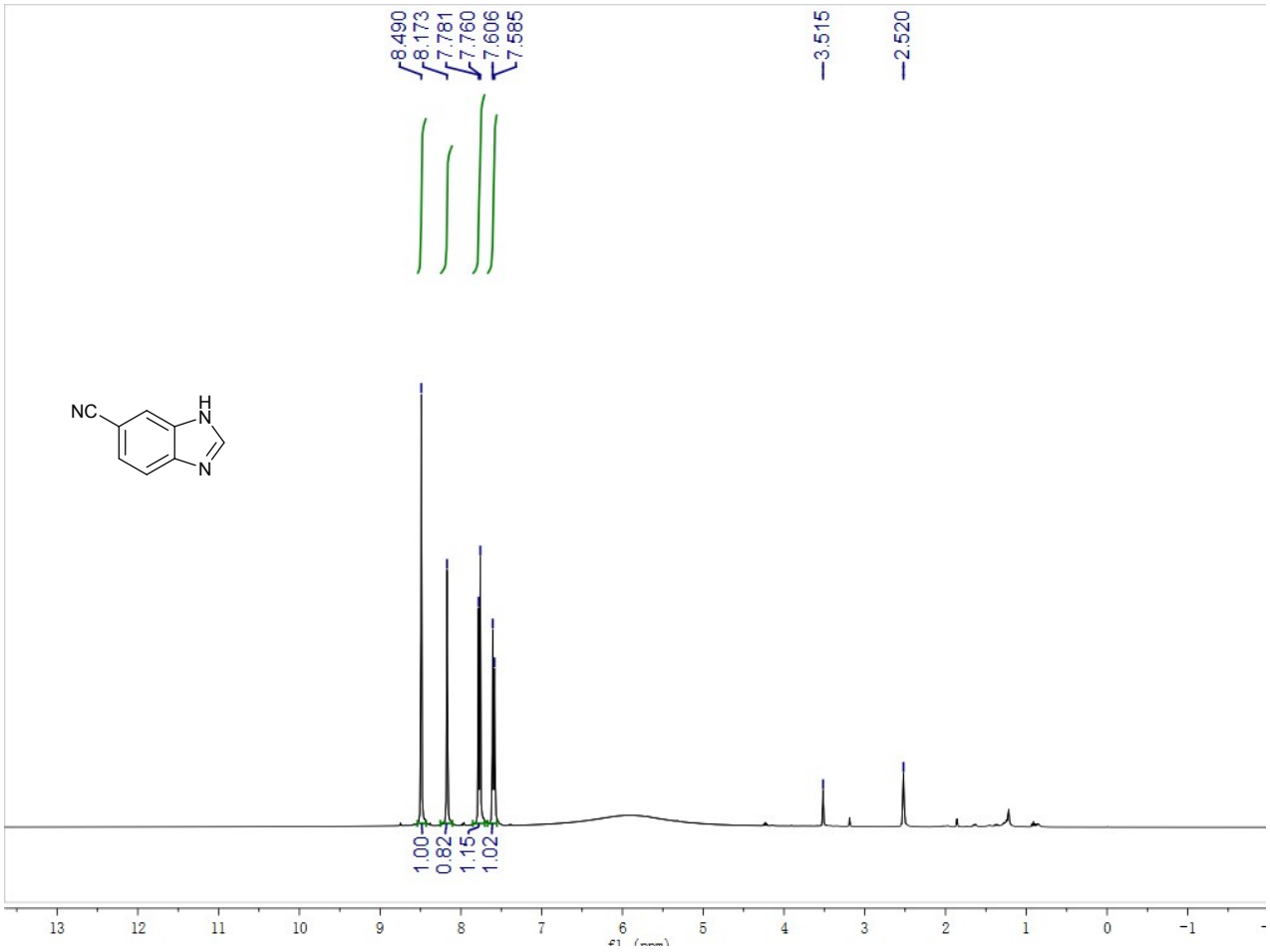


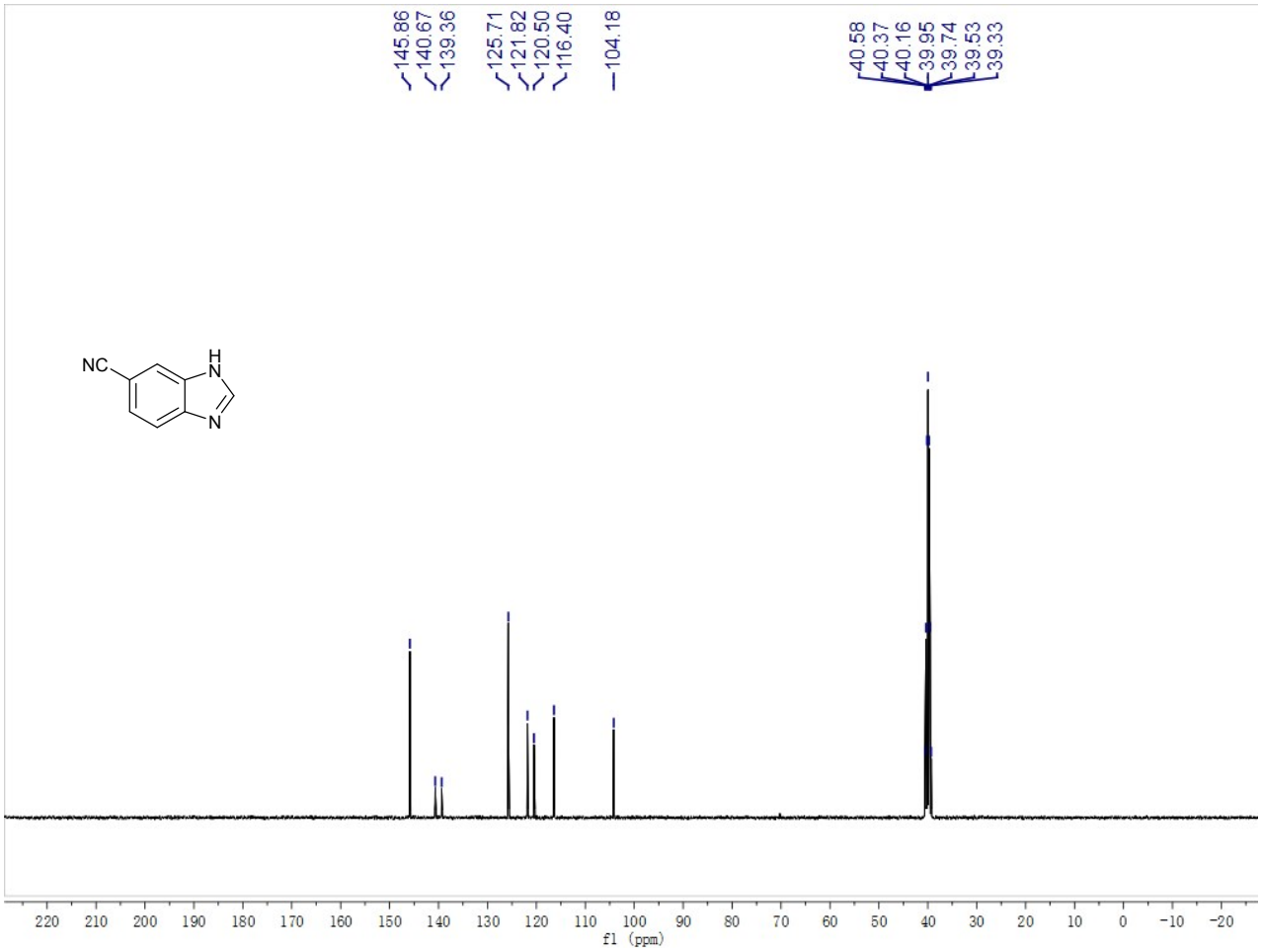
6-bromo-1*H*-benzo[*d*]imidazole **7f**



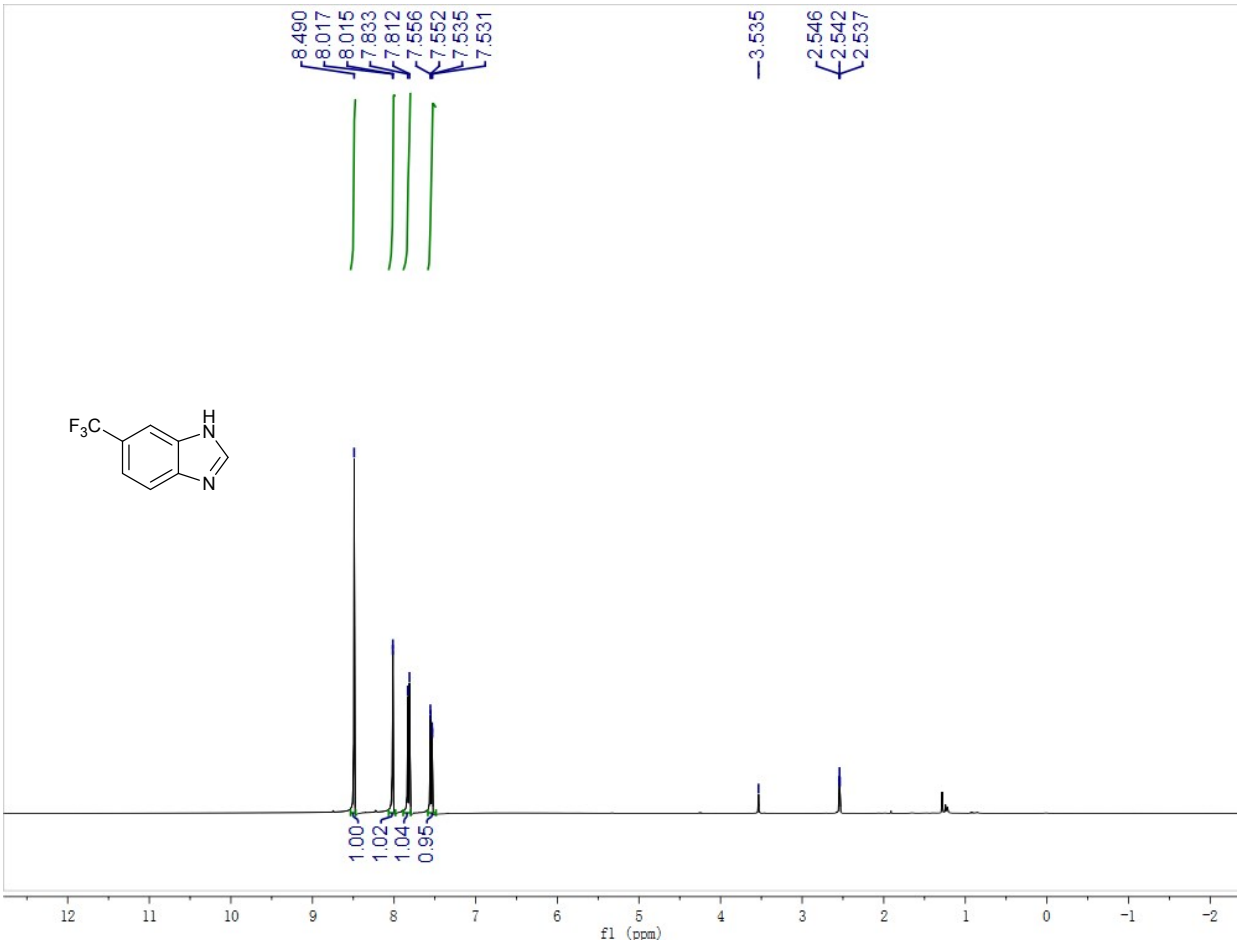


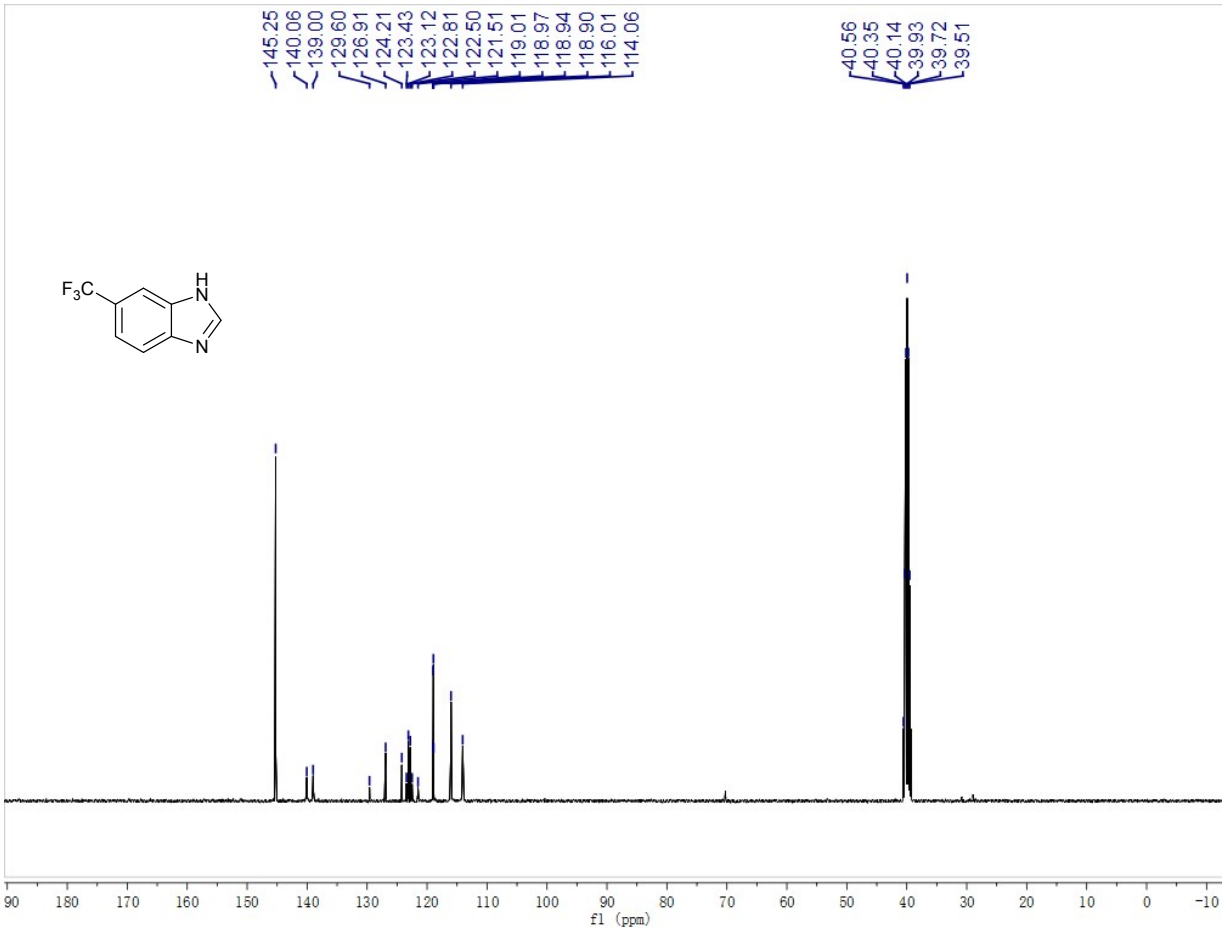
1*H*-benzo[*d*]imidazole-6-carbonitrile **7g**



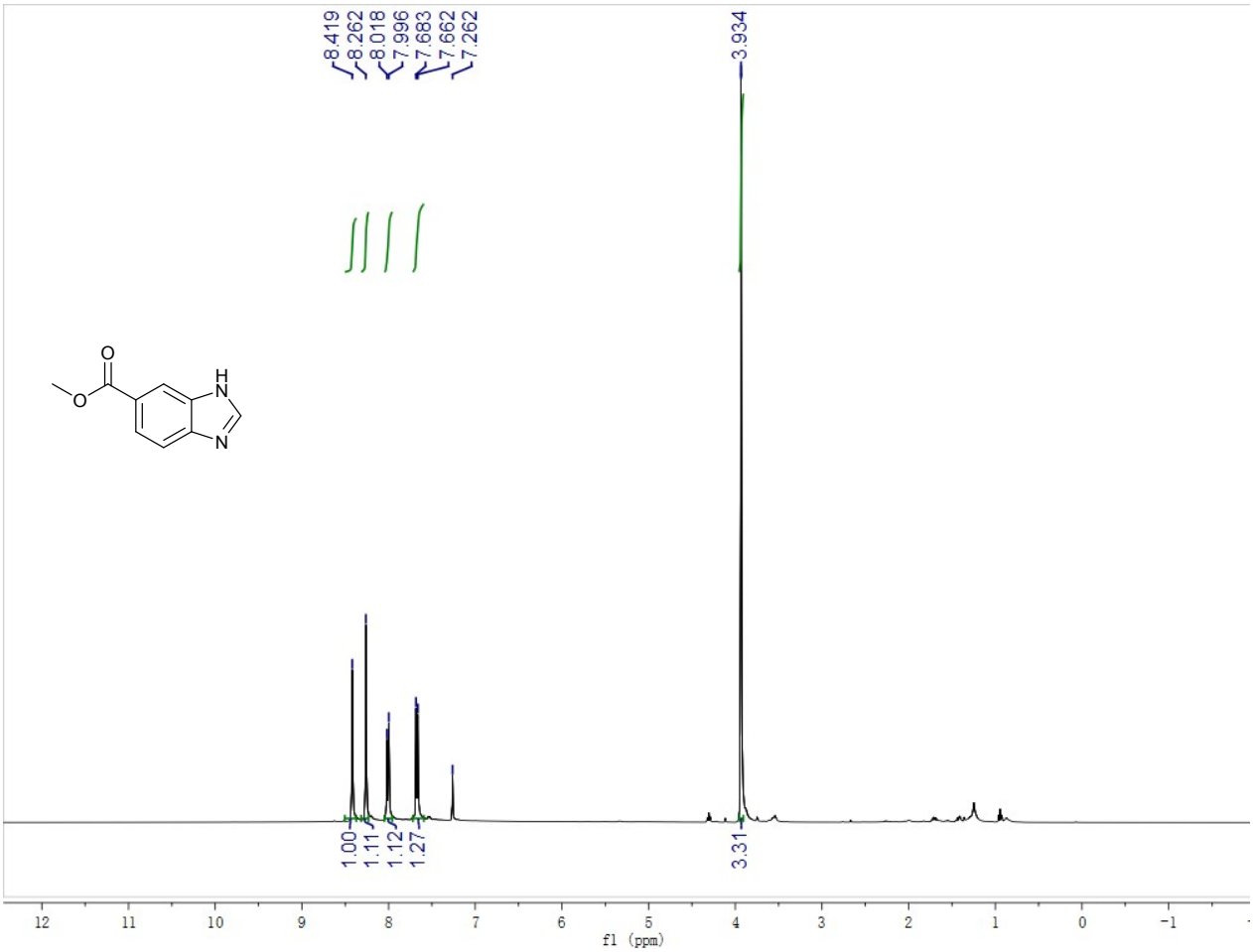


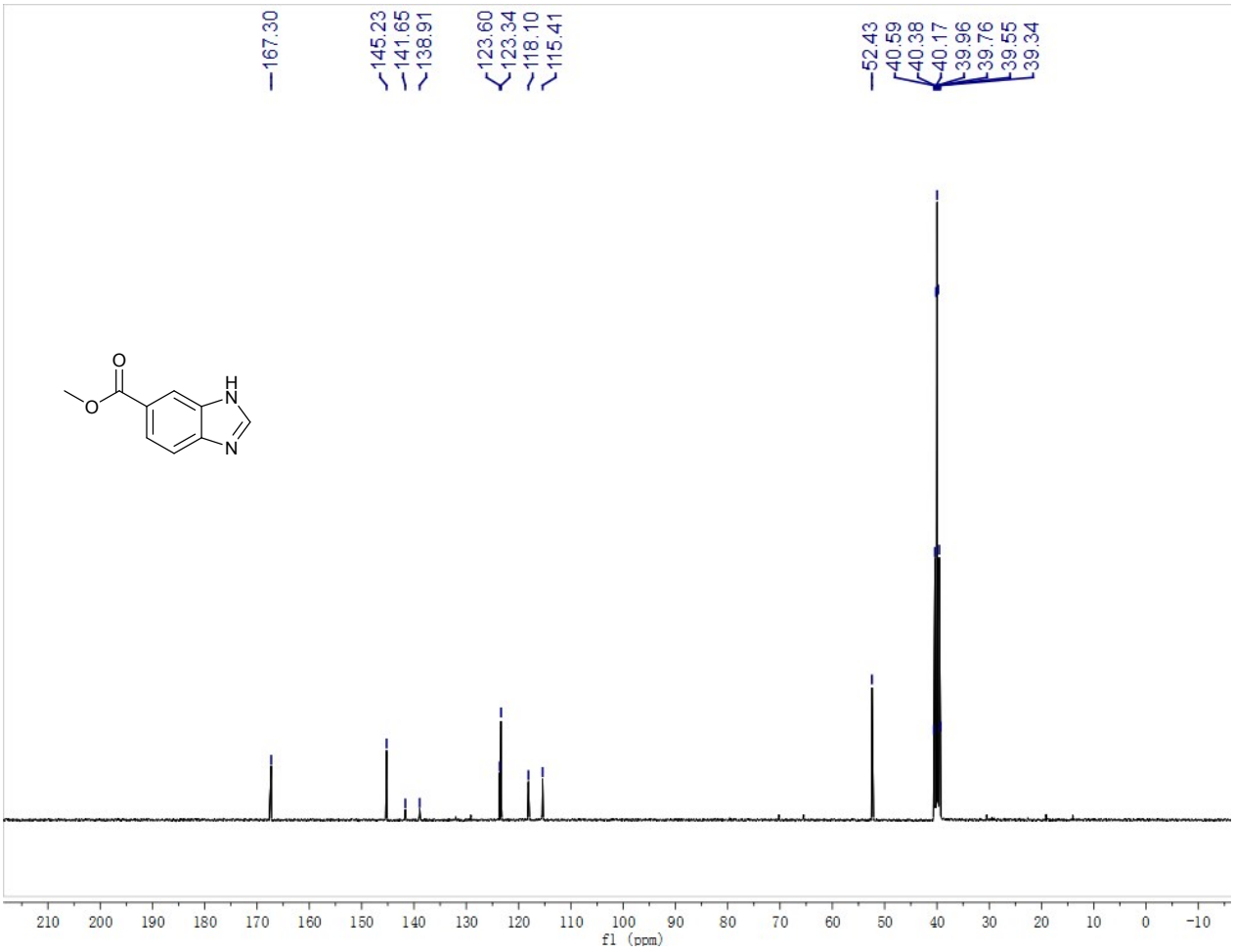
6-(trifluoromethyl)-1*H*-benzo[*d*]imidazole **7h**



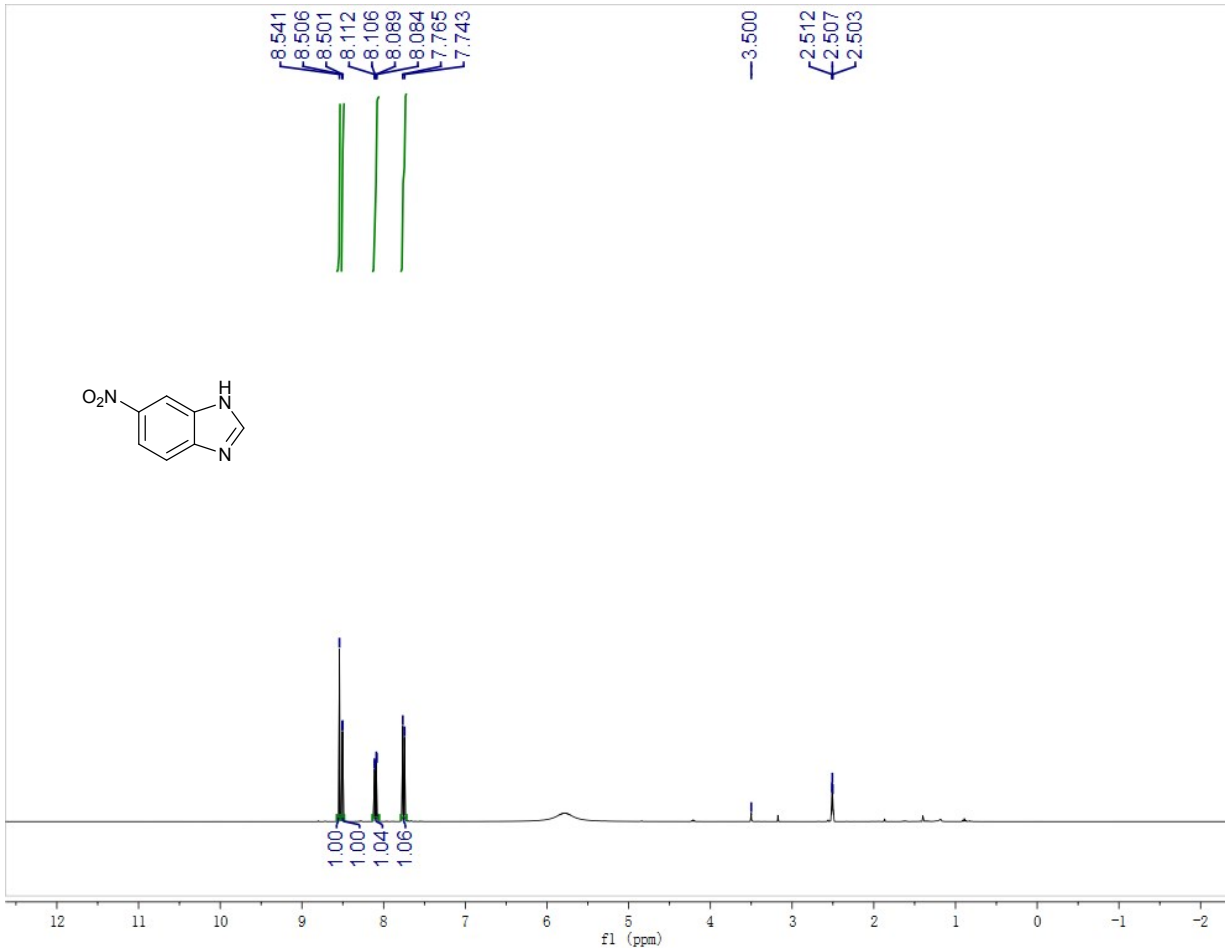


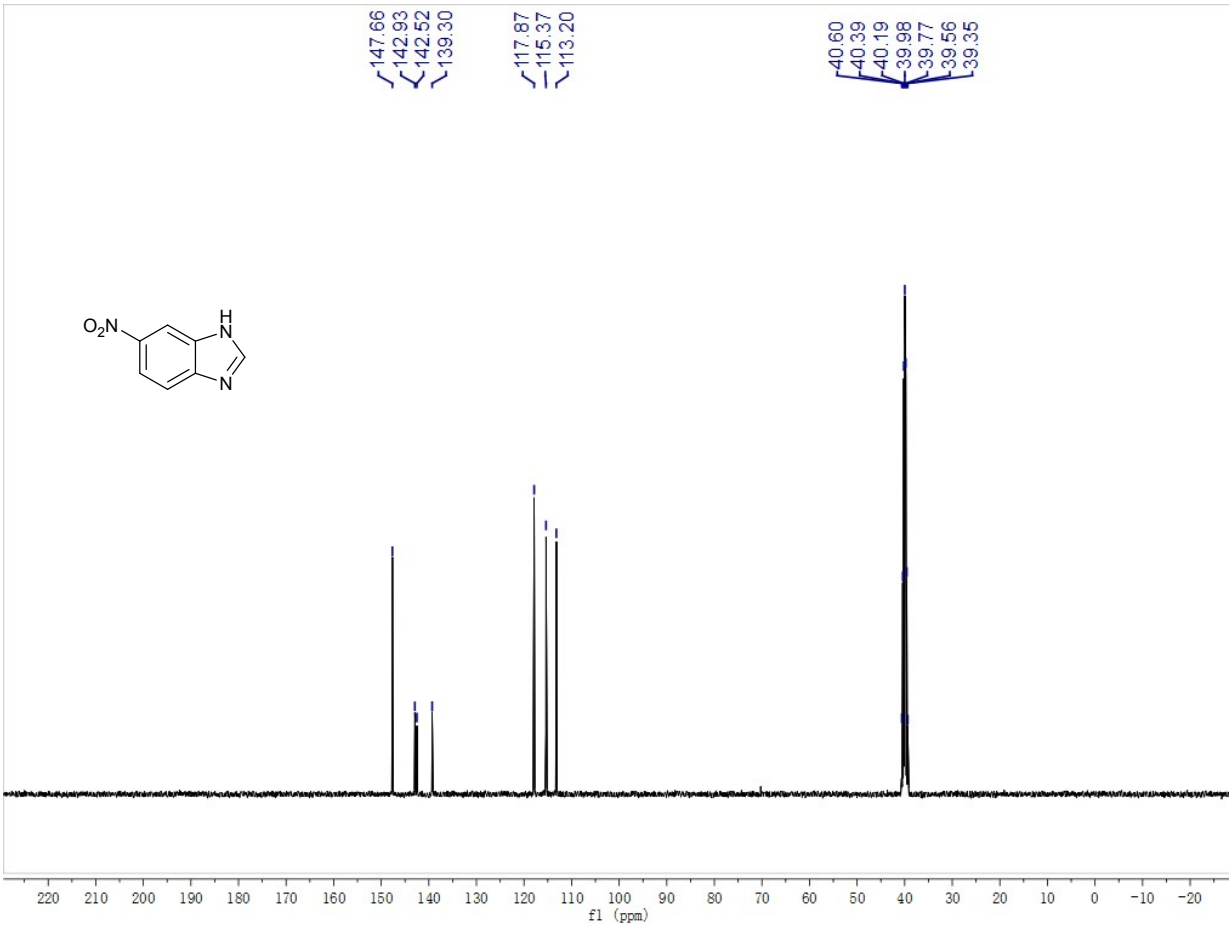
methyl 1*H*-benzo[*d*]imidazole-6-carboxylate **7i**



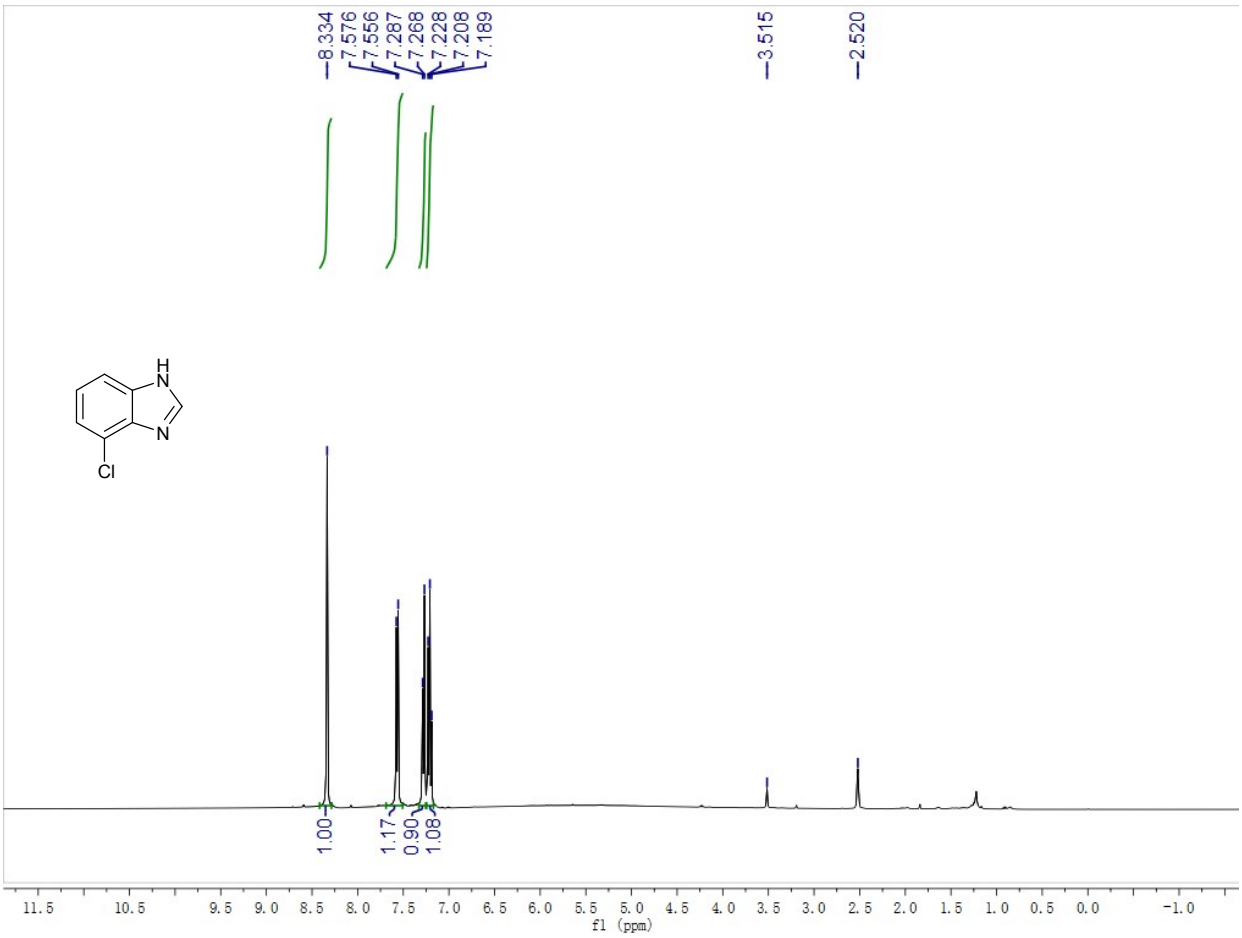


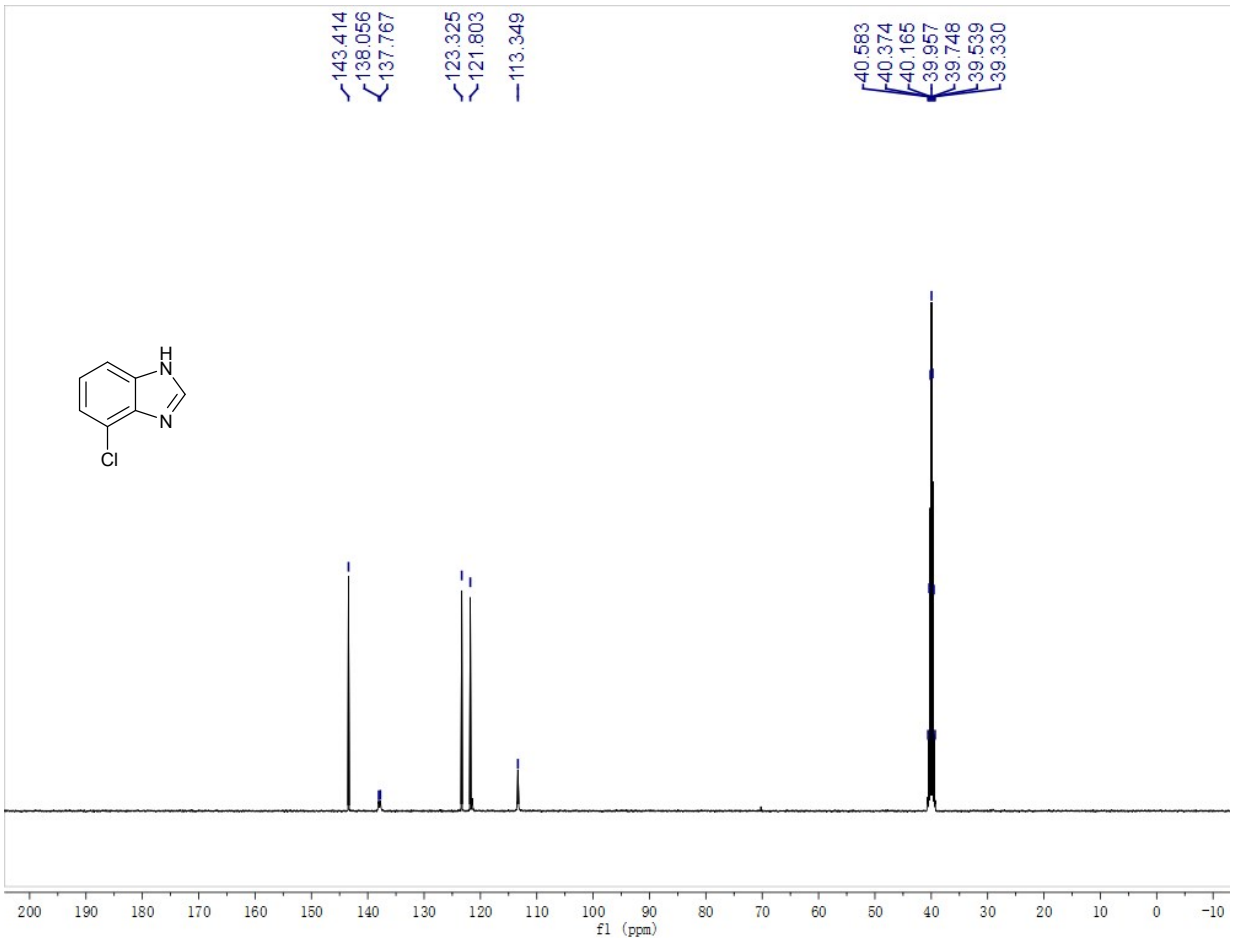
6-nitro-1*H*-benzo[*d*]imidazole **7j**



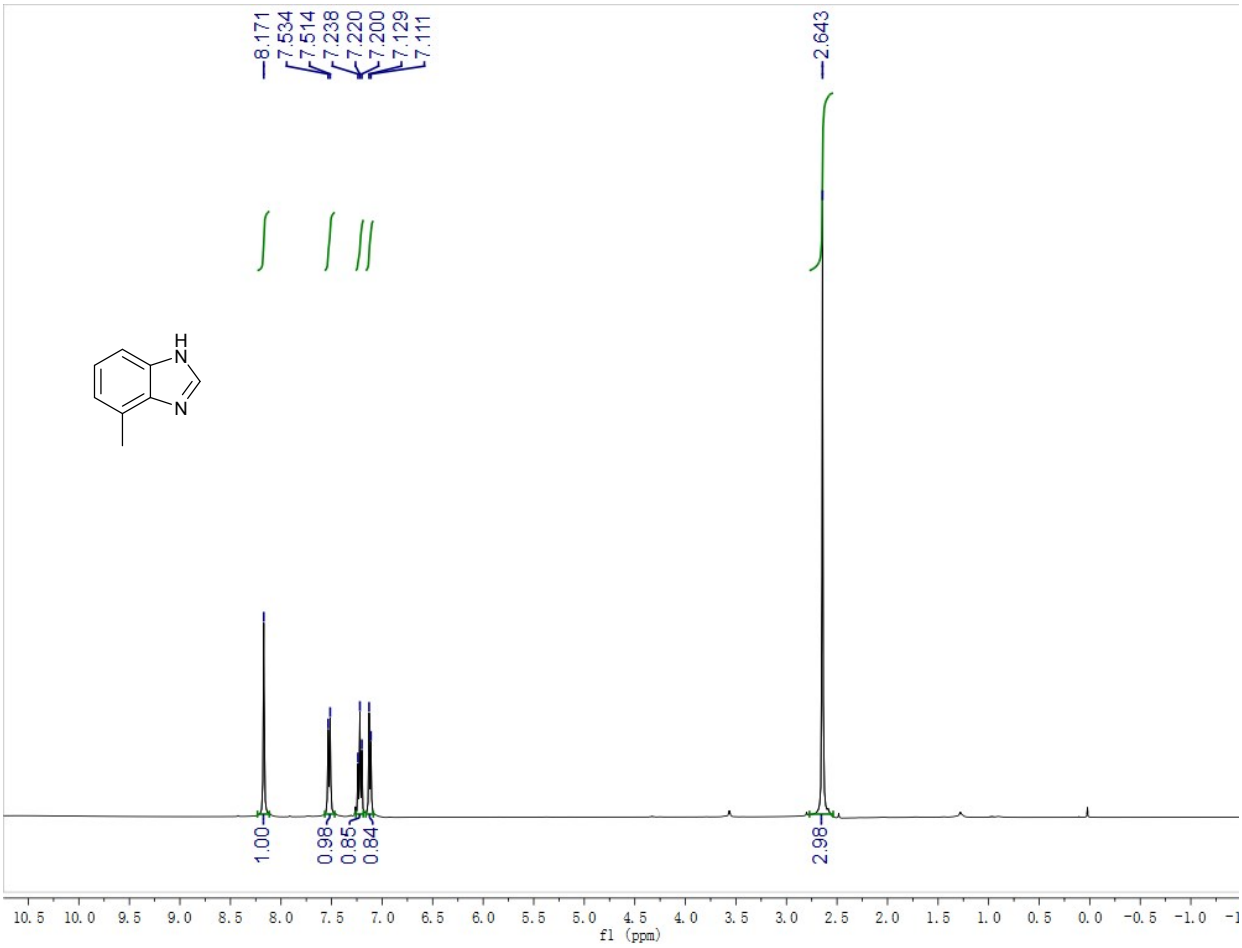


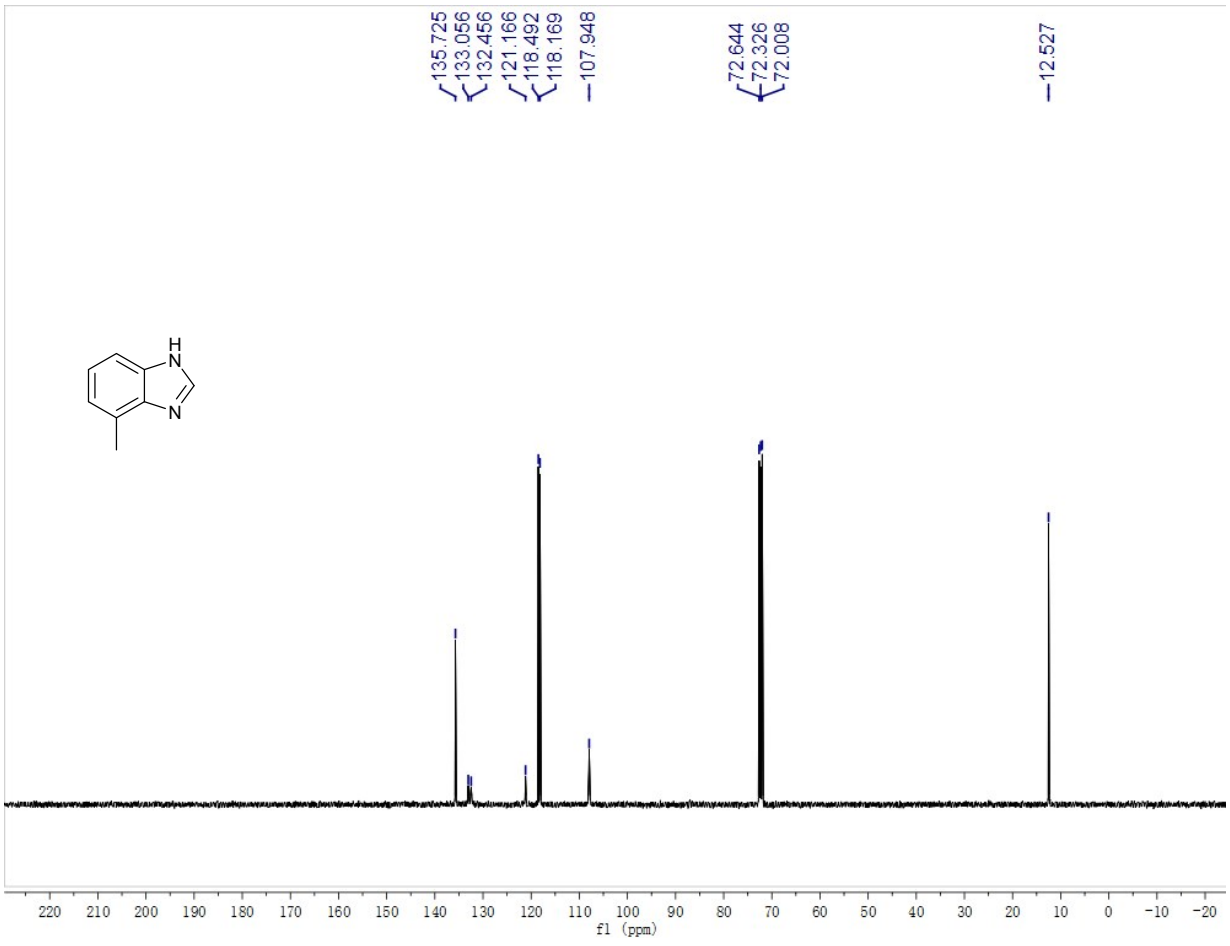
4-chloro-1*H*-benzo[*d*]imidazole **7k**



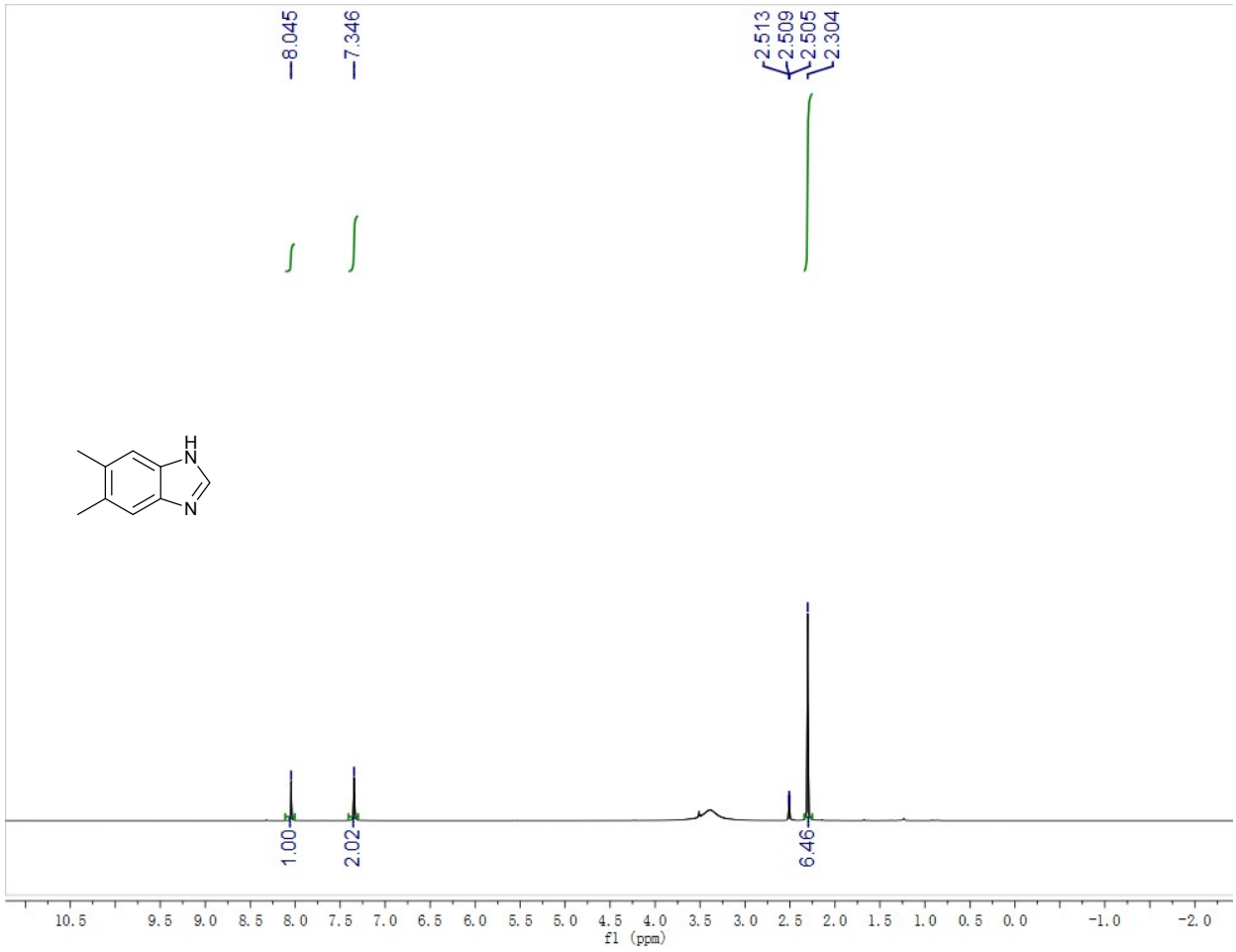


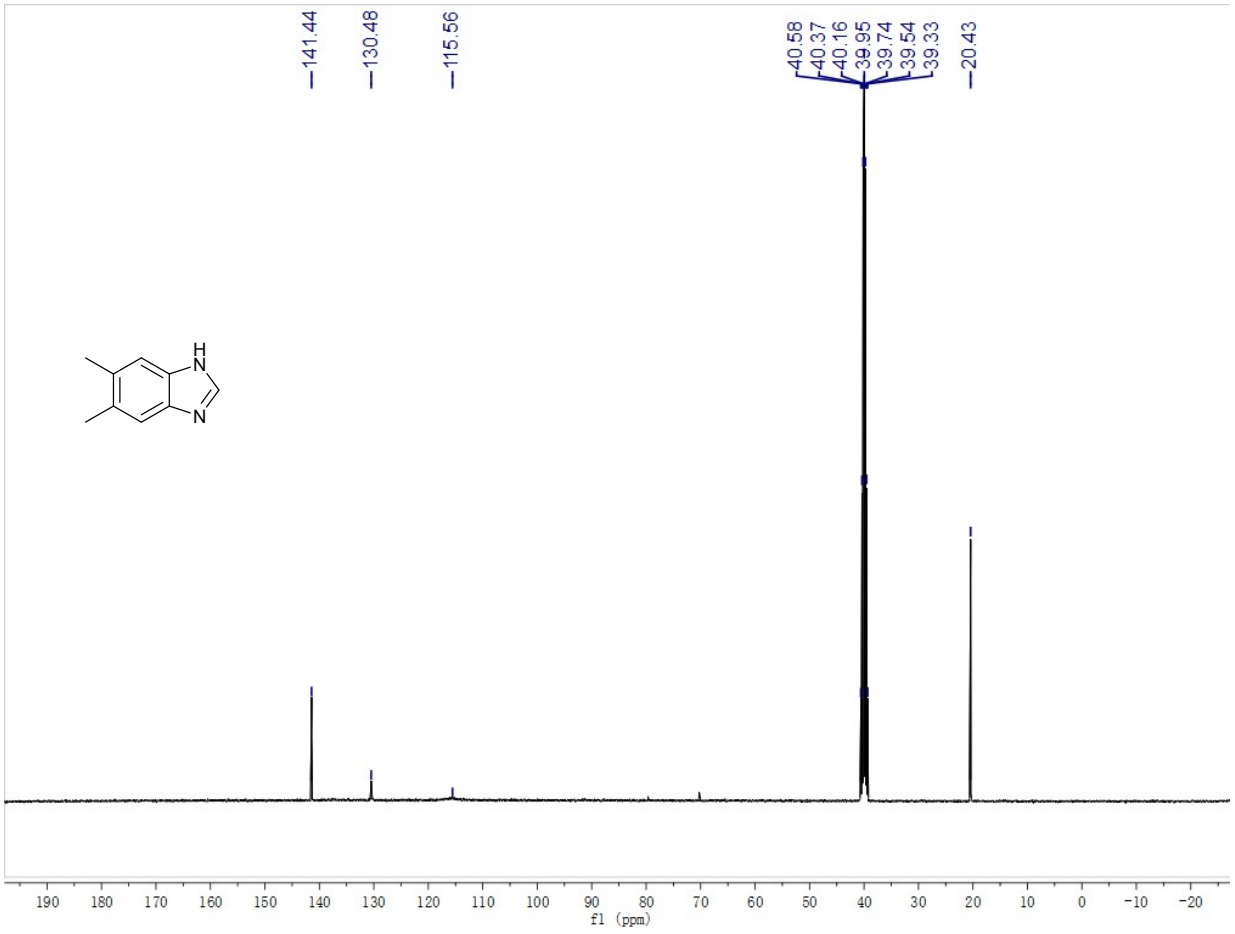
4-methyl-1*H*-benzo[*d*]imidazole **7l**



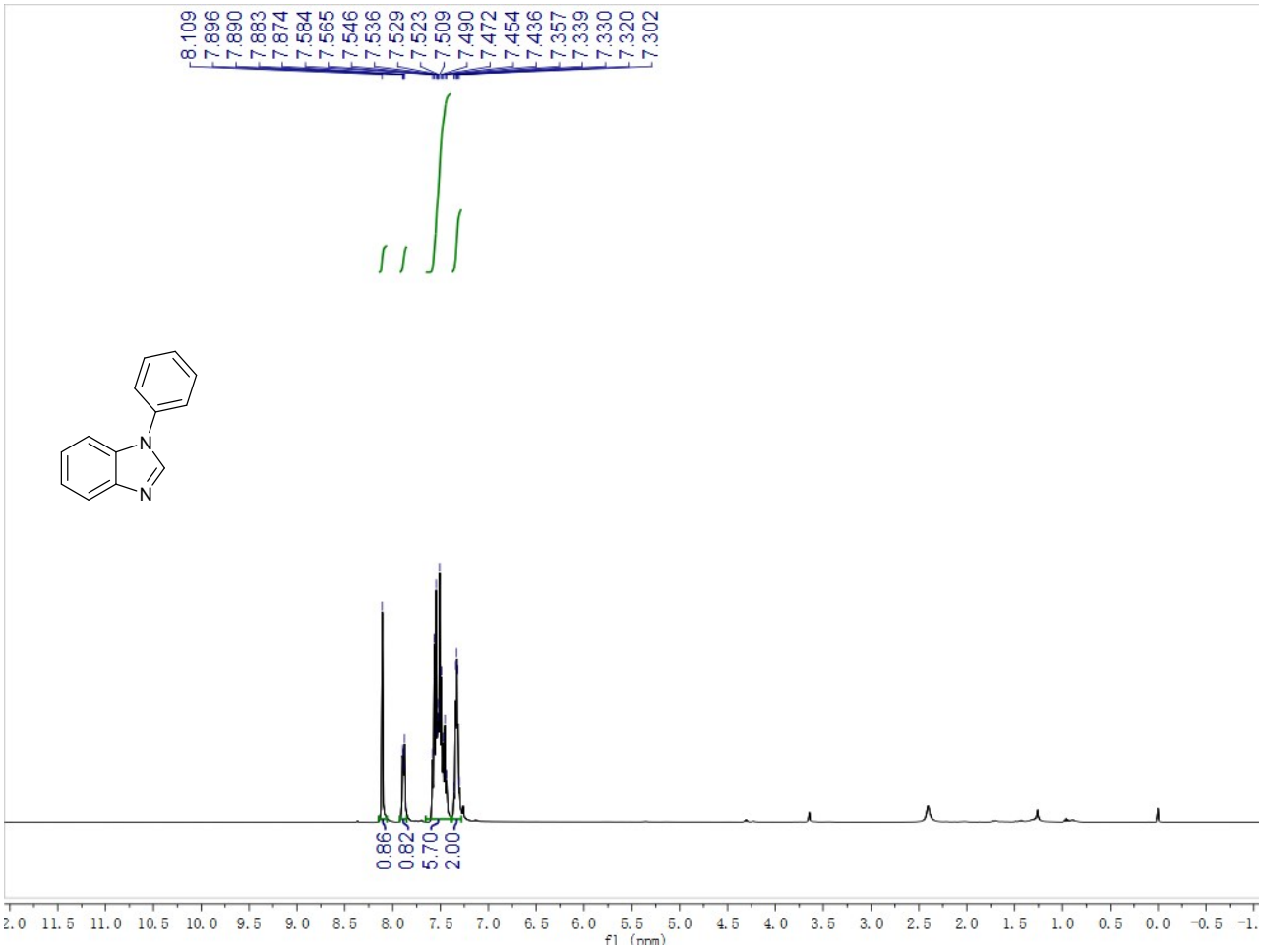


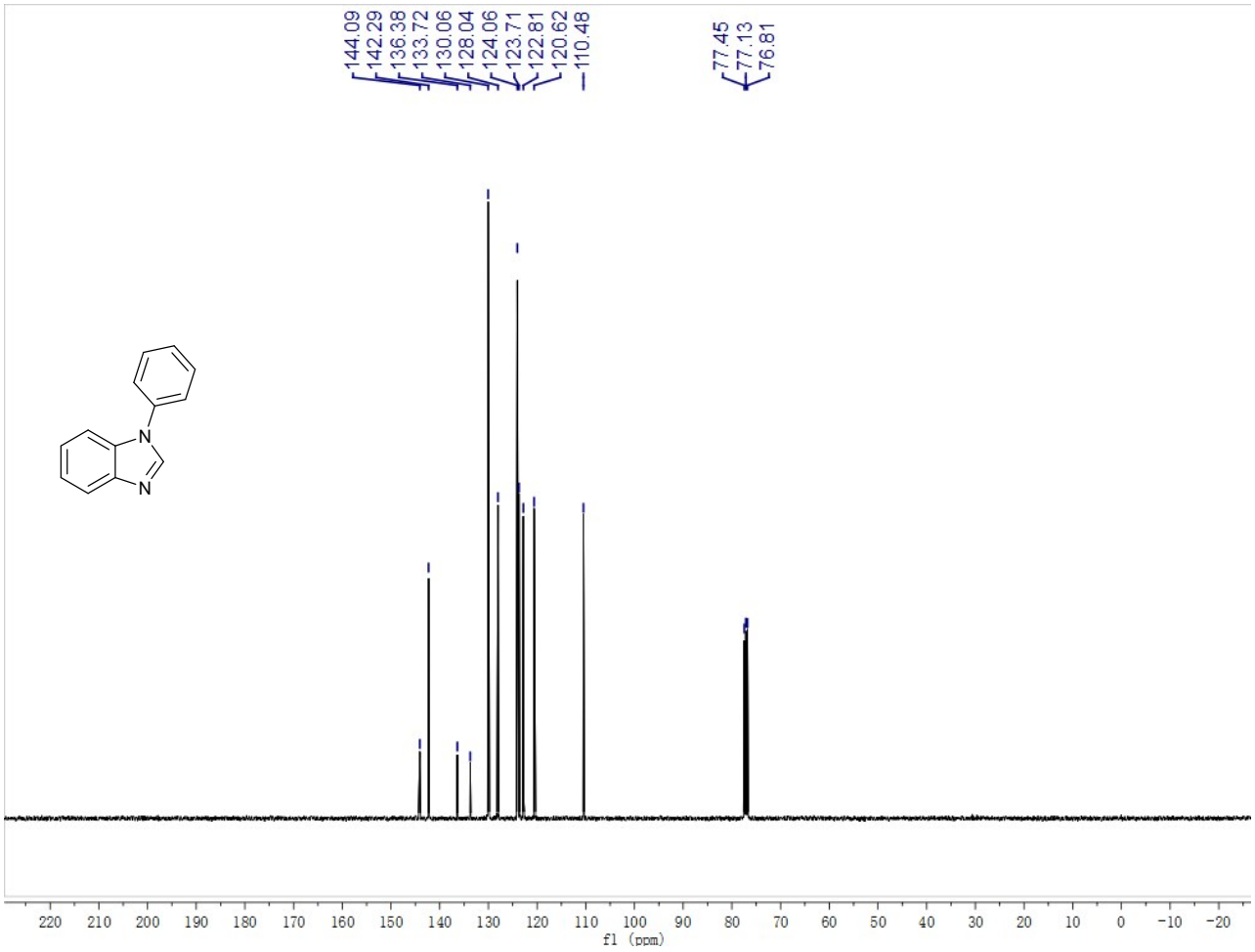
5,6-dimethyl-1*H*-benzo[d]imidazole **7m**



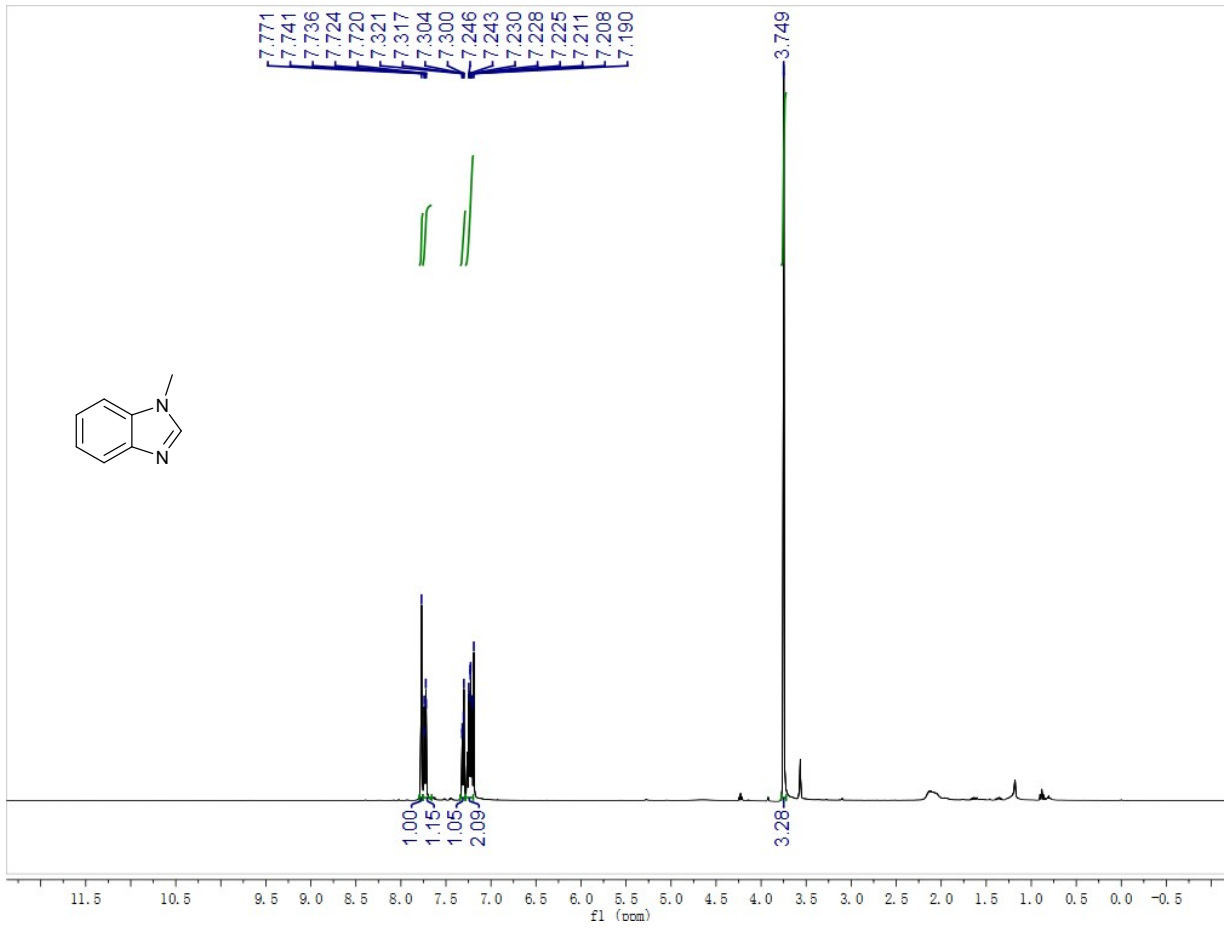


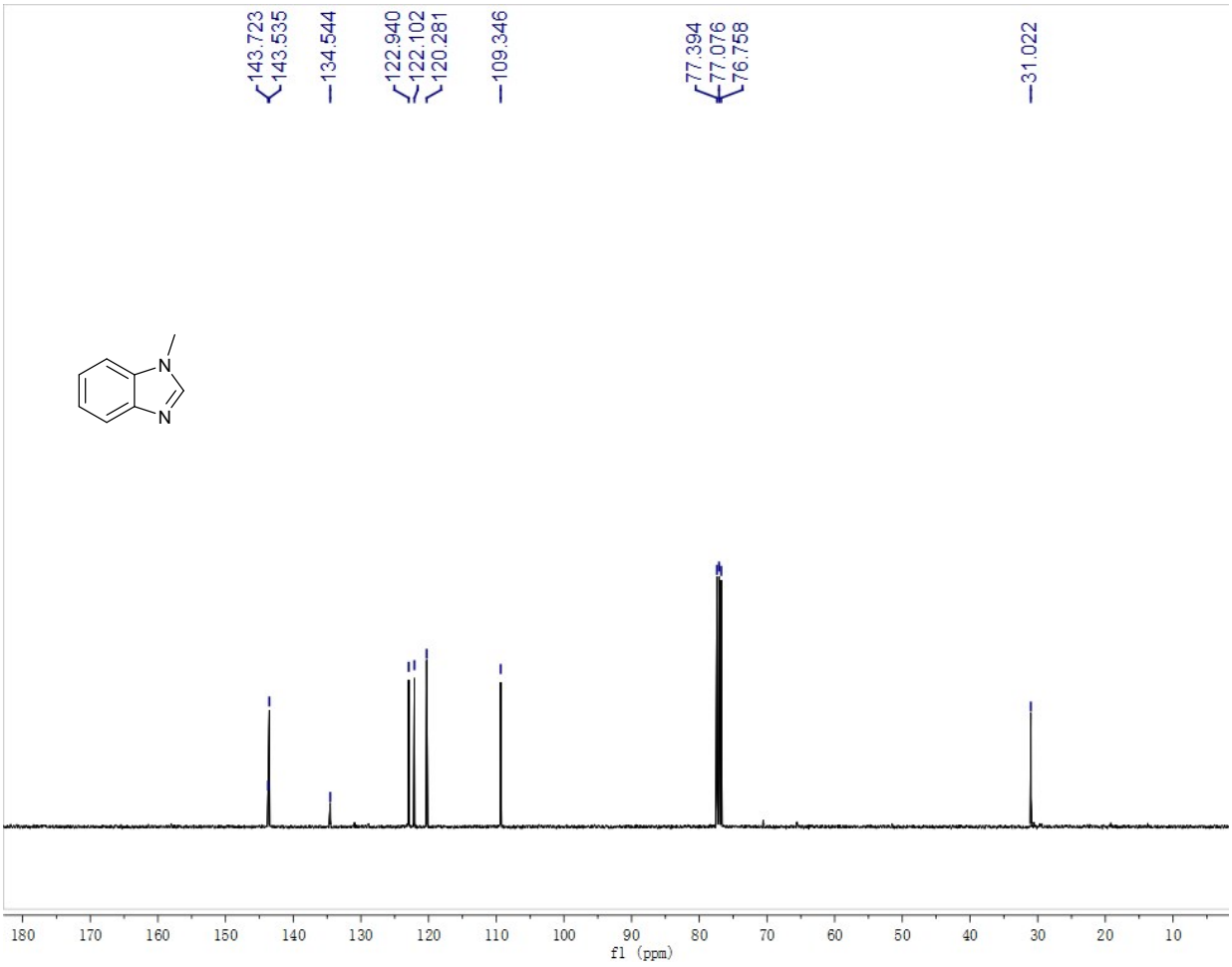
1-phenyl-1*H*-benzo[*d*]imidazole **7n**



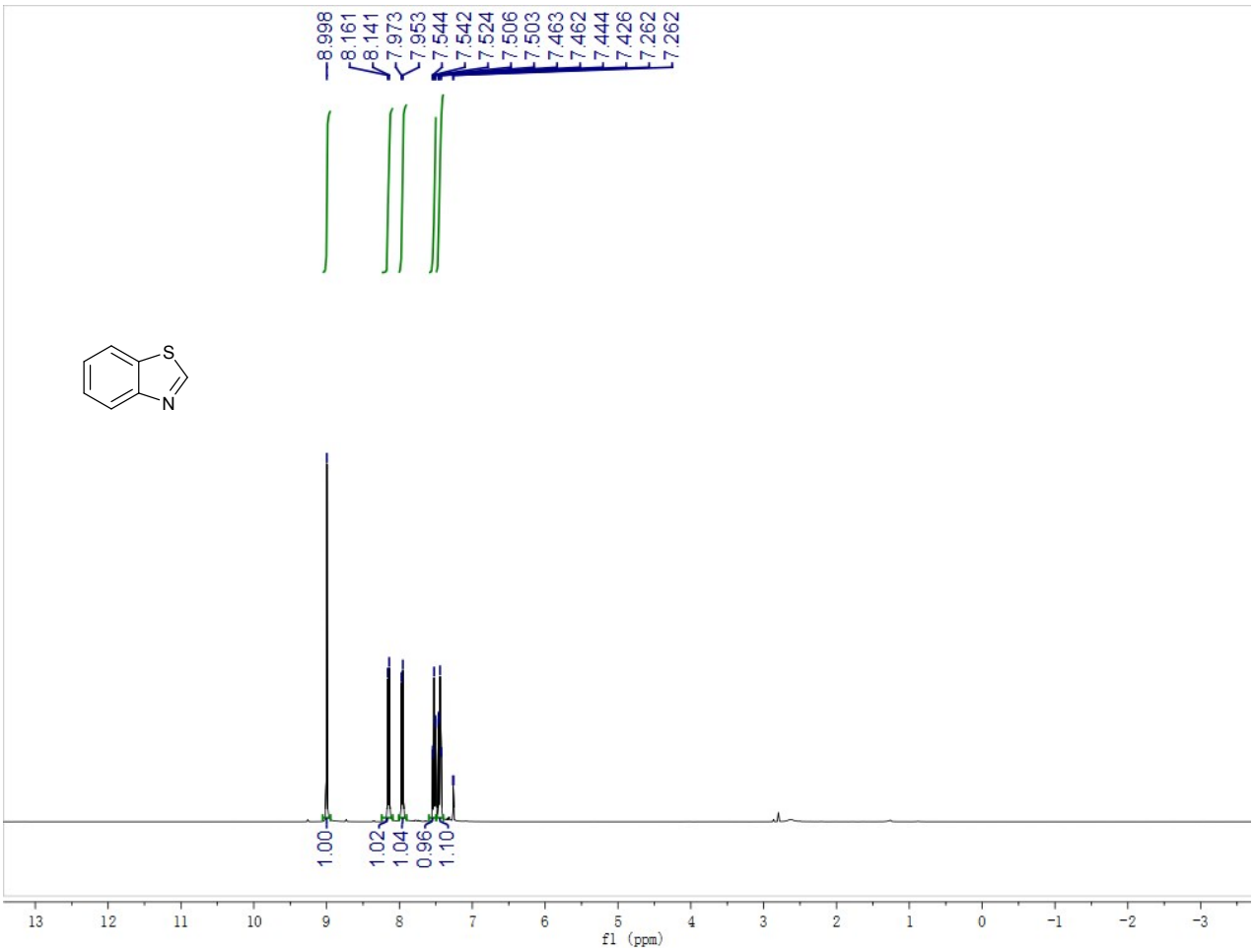


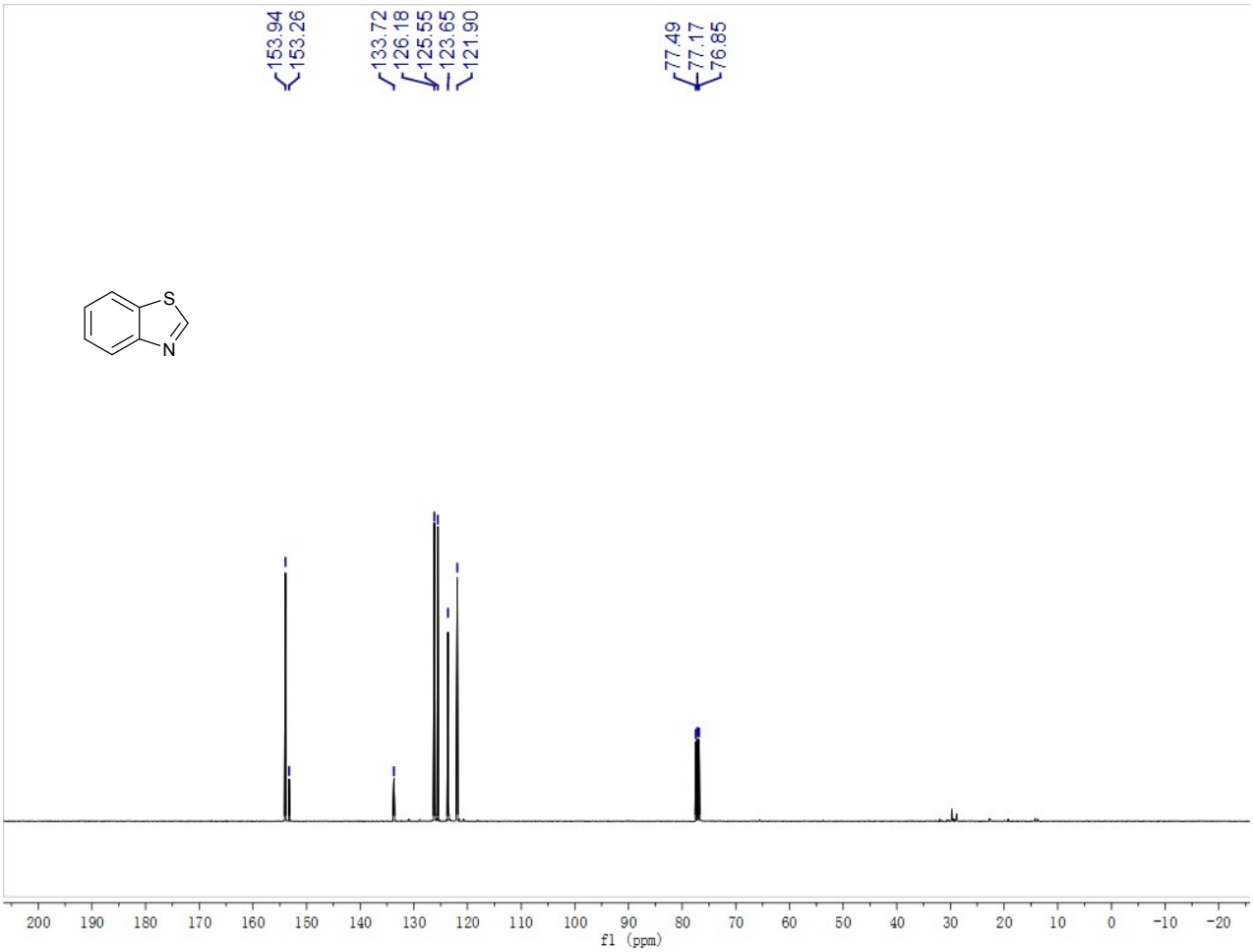
1-methyl-1*H*-benzo[*d*]imidazole **7o**



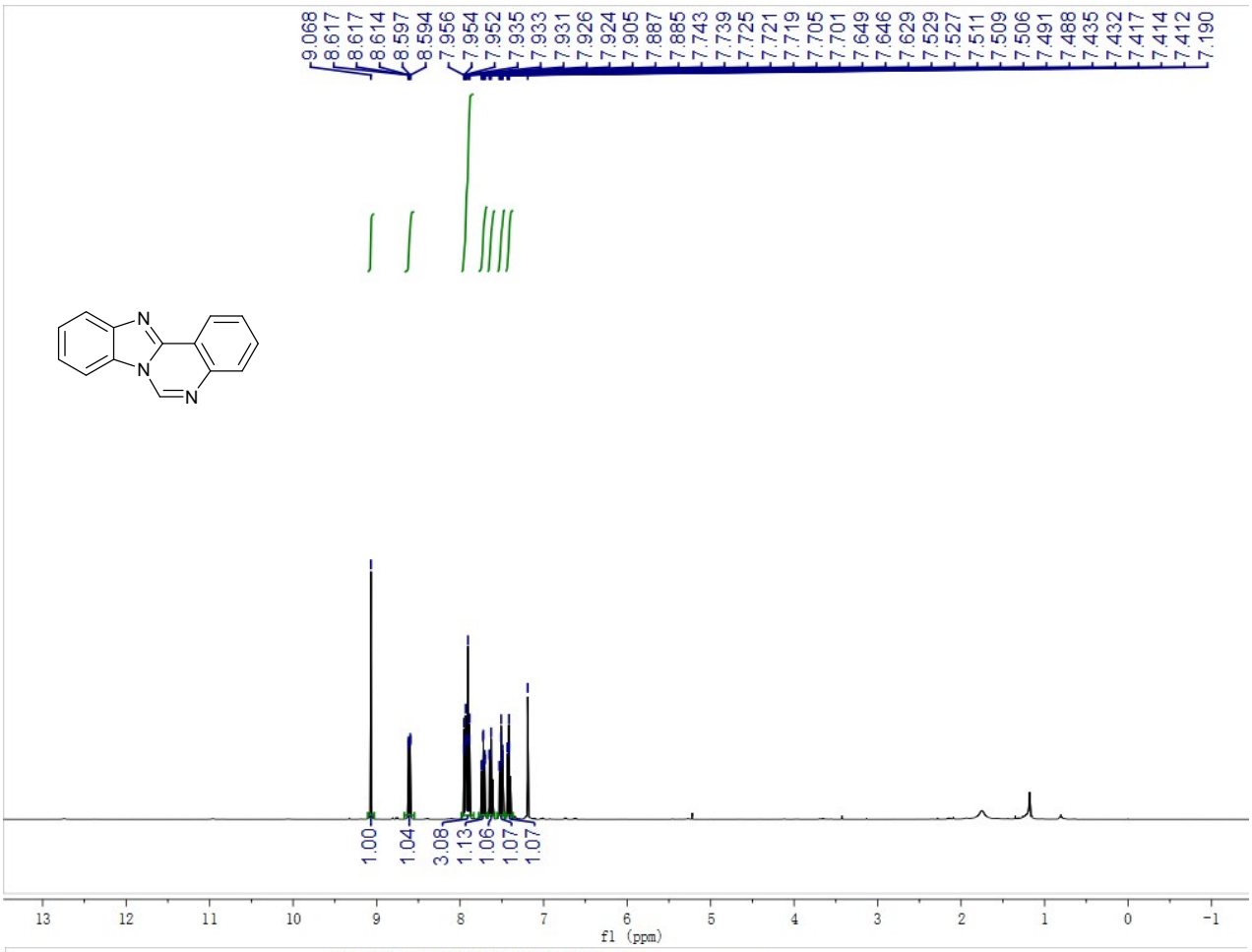


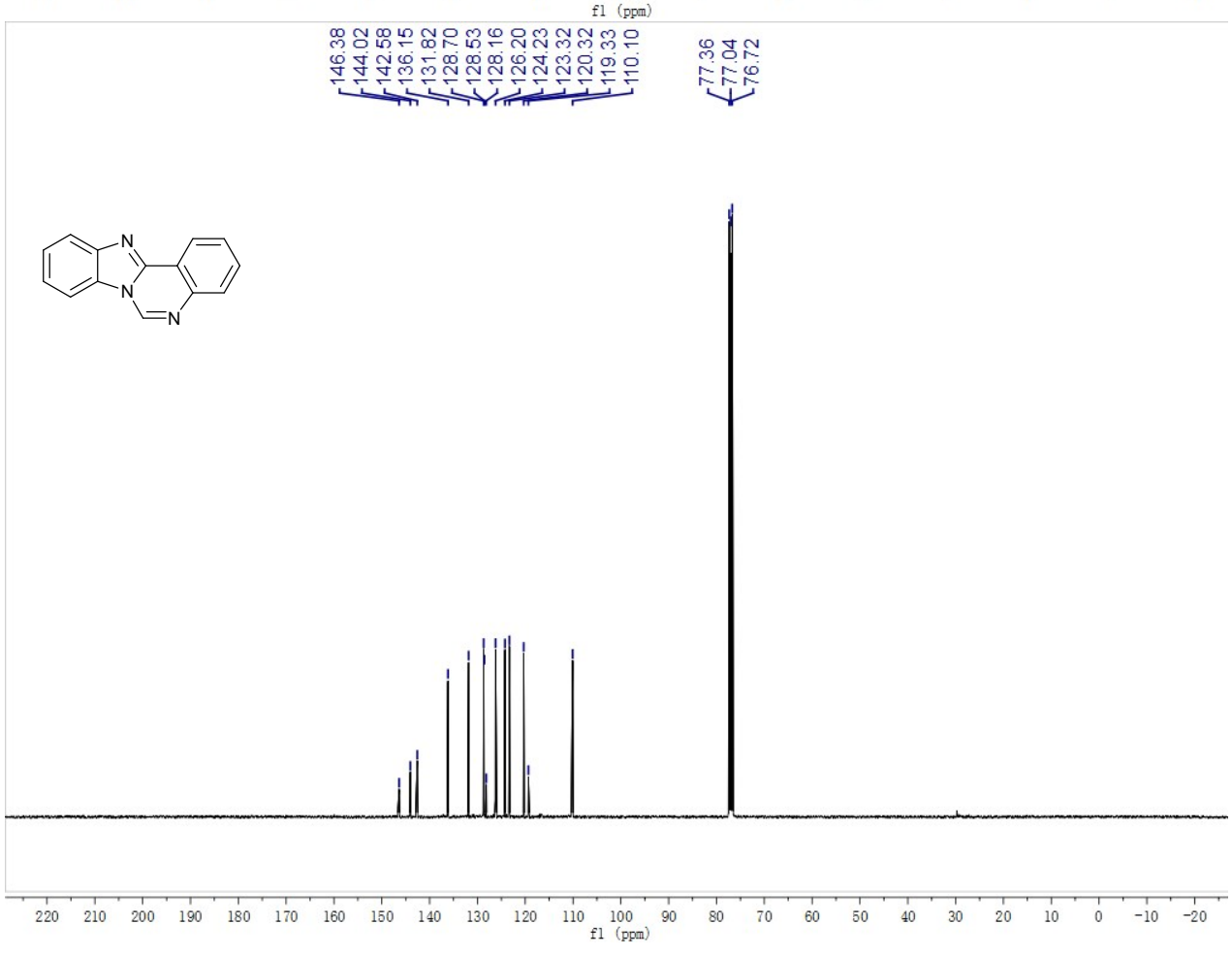
benzo[*d*]thiazole **7p**



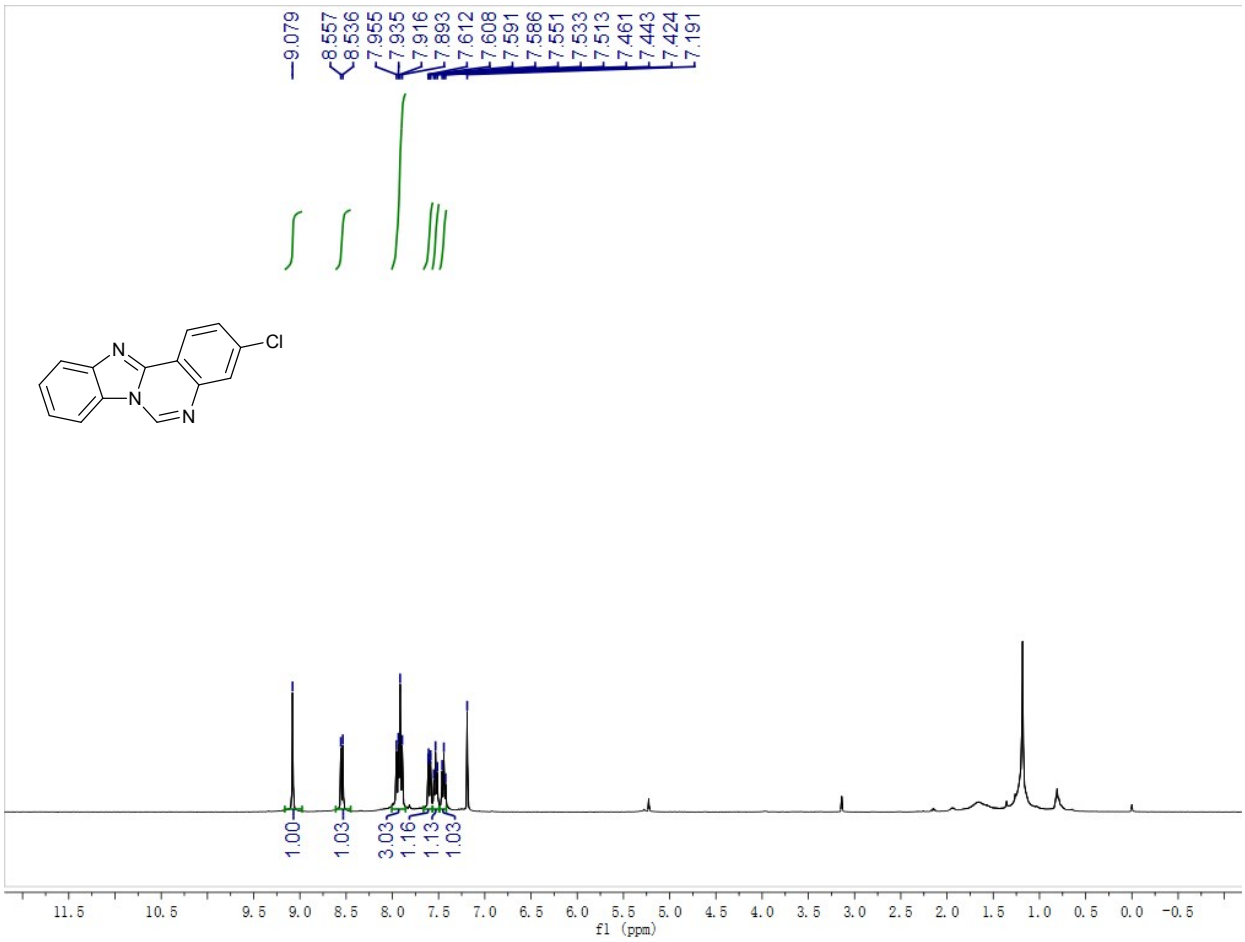


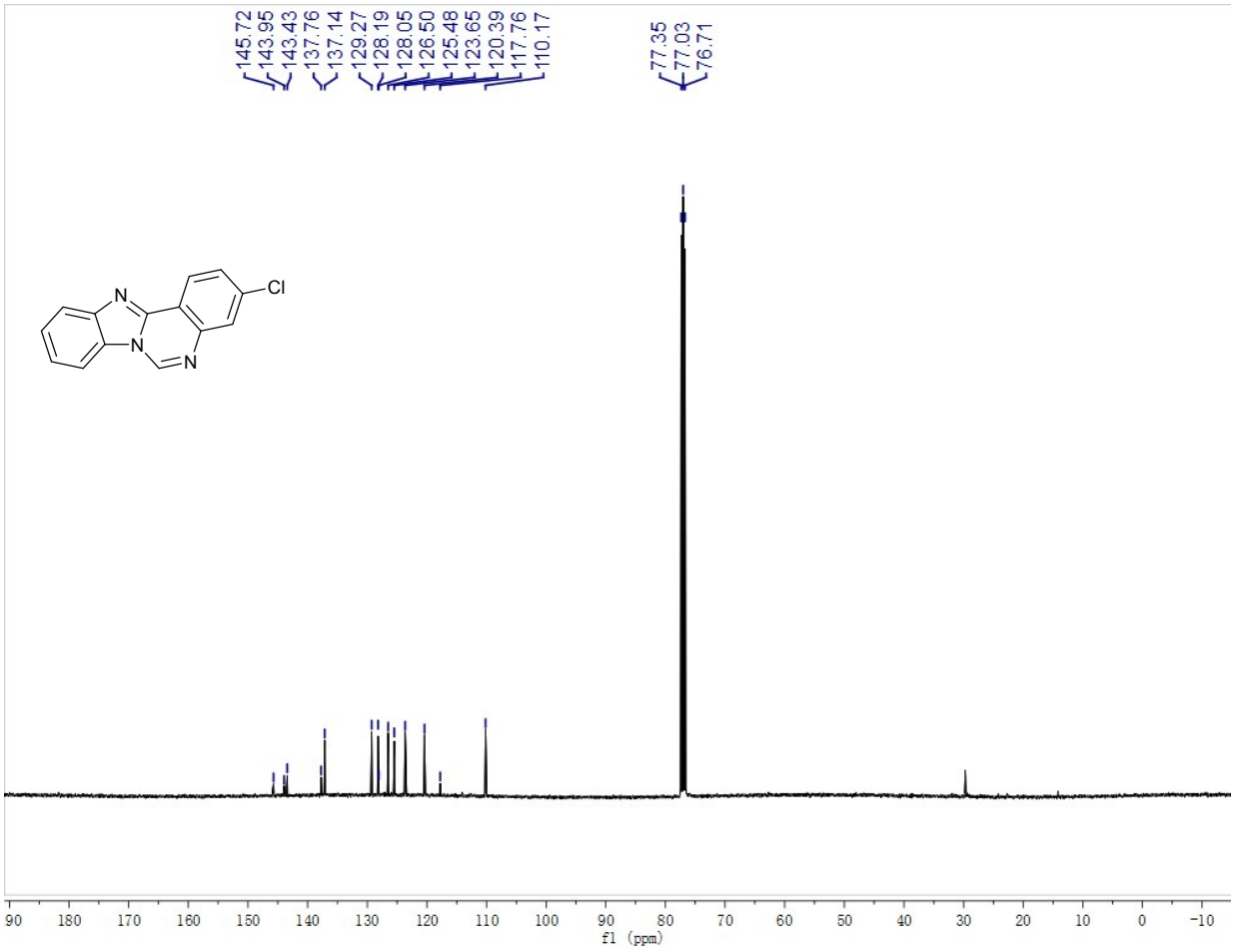
benzo[4,5]imidazo[1,2-*c*]quinazoline **7r**



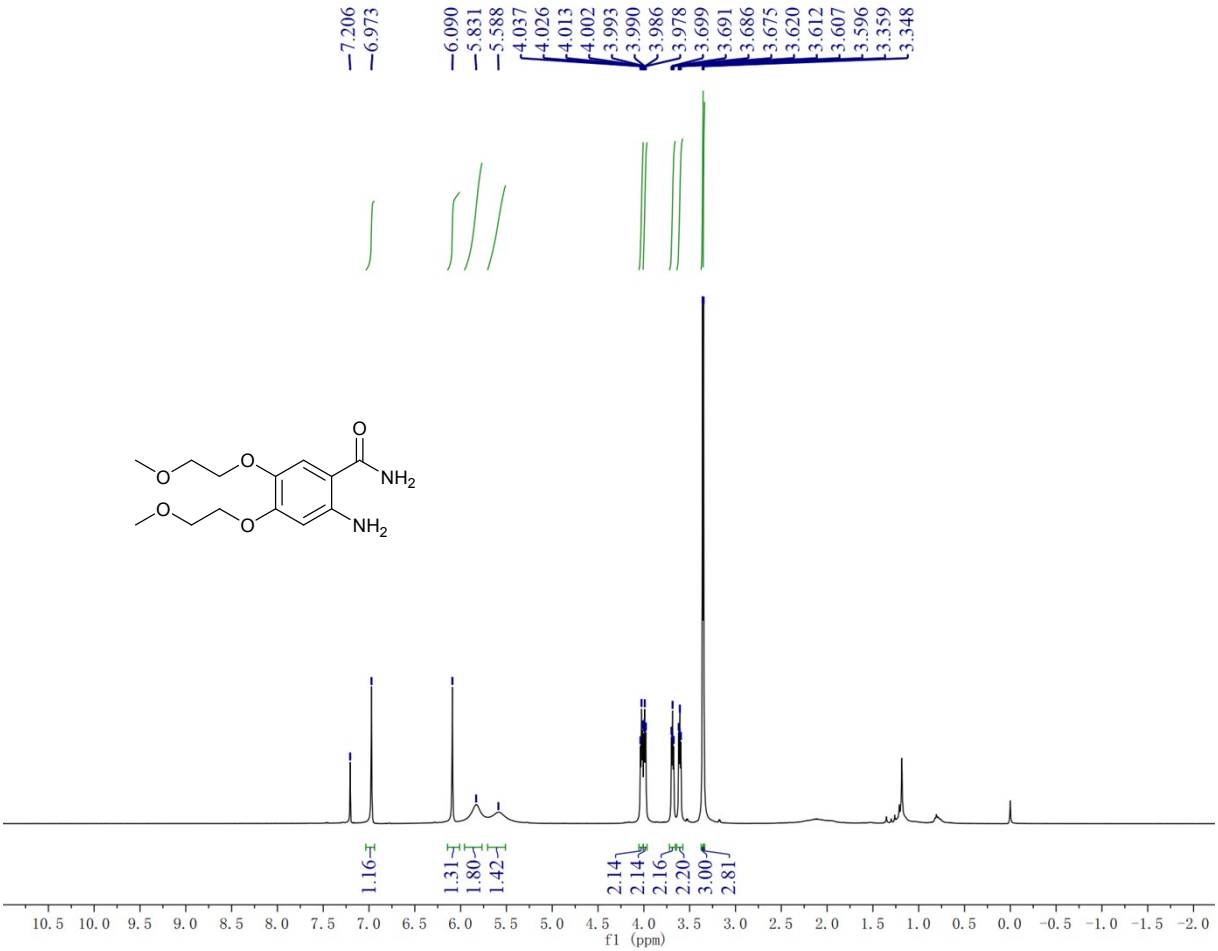


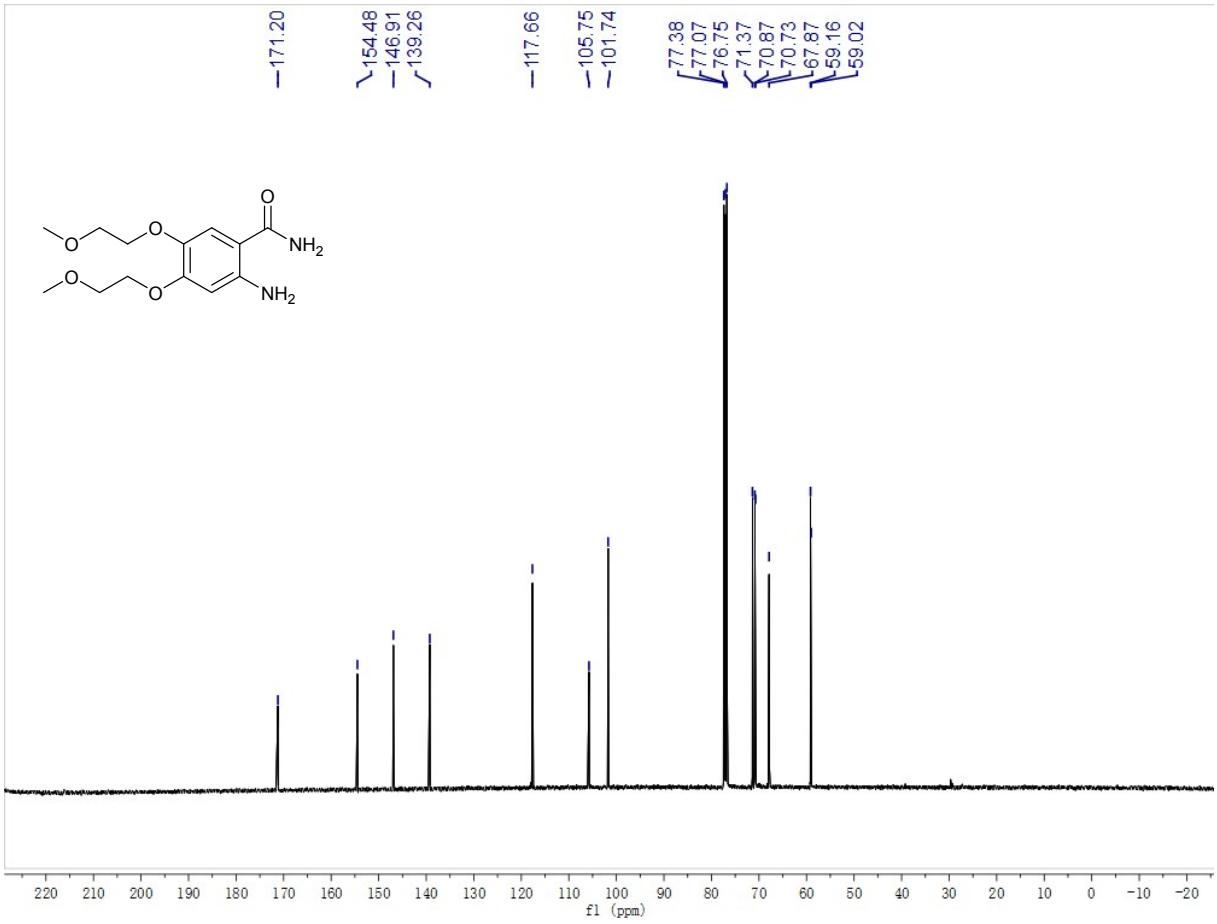
1-chlorobenzo[4,5]imidazo[1,2-*c*]quinazoline **7s**



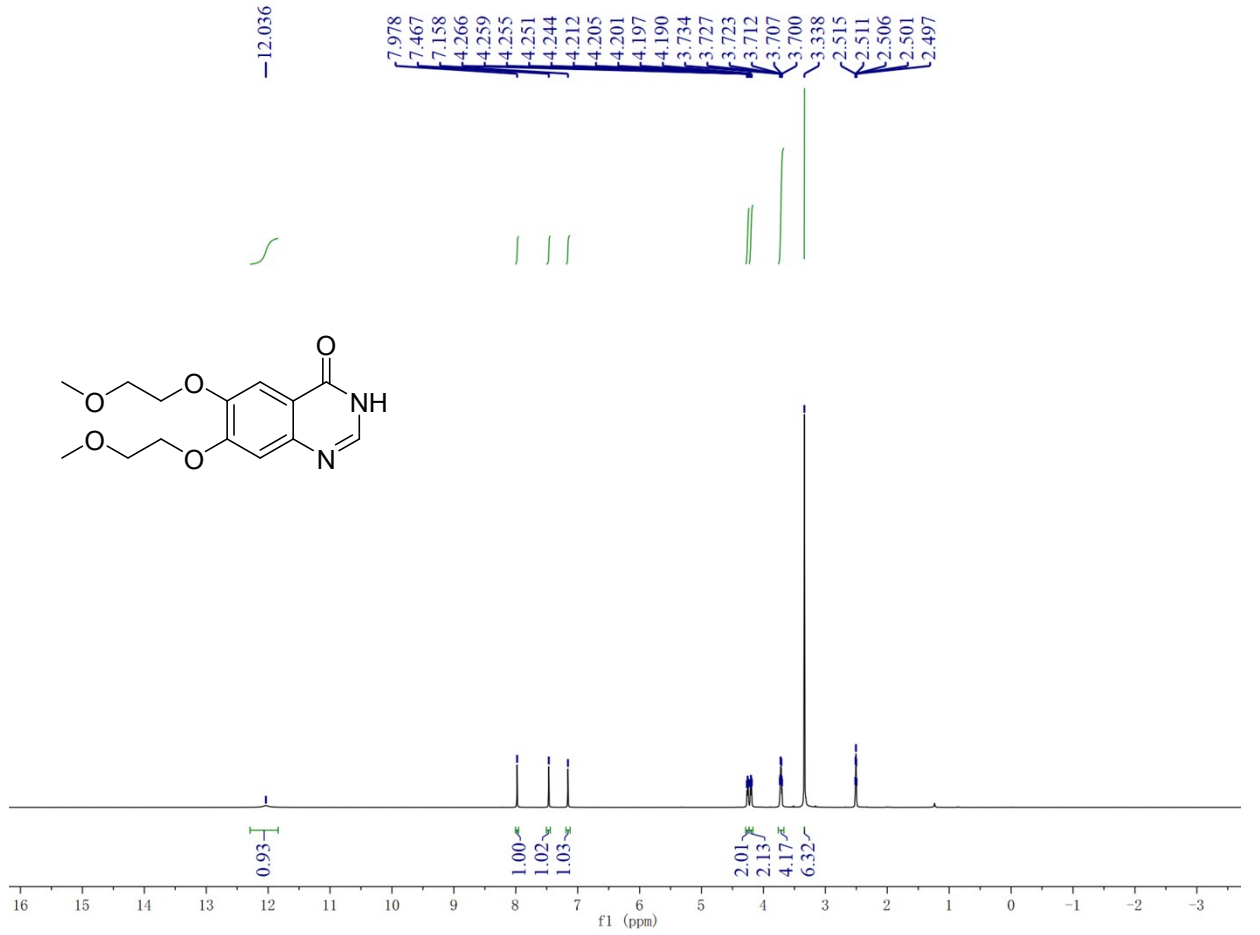


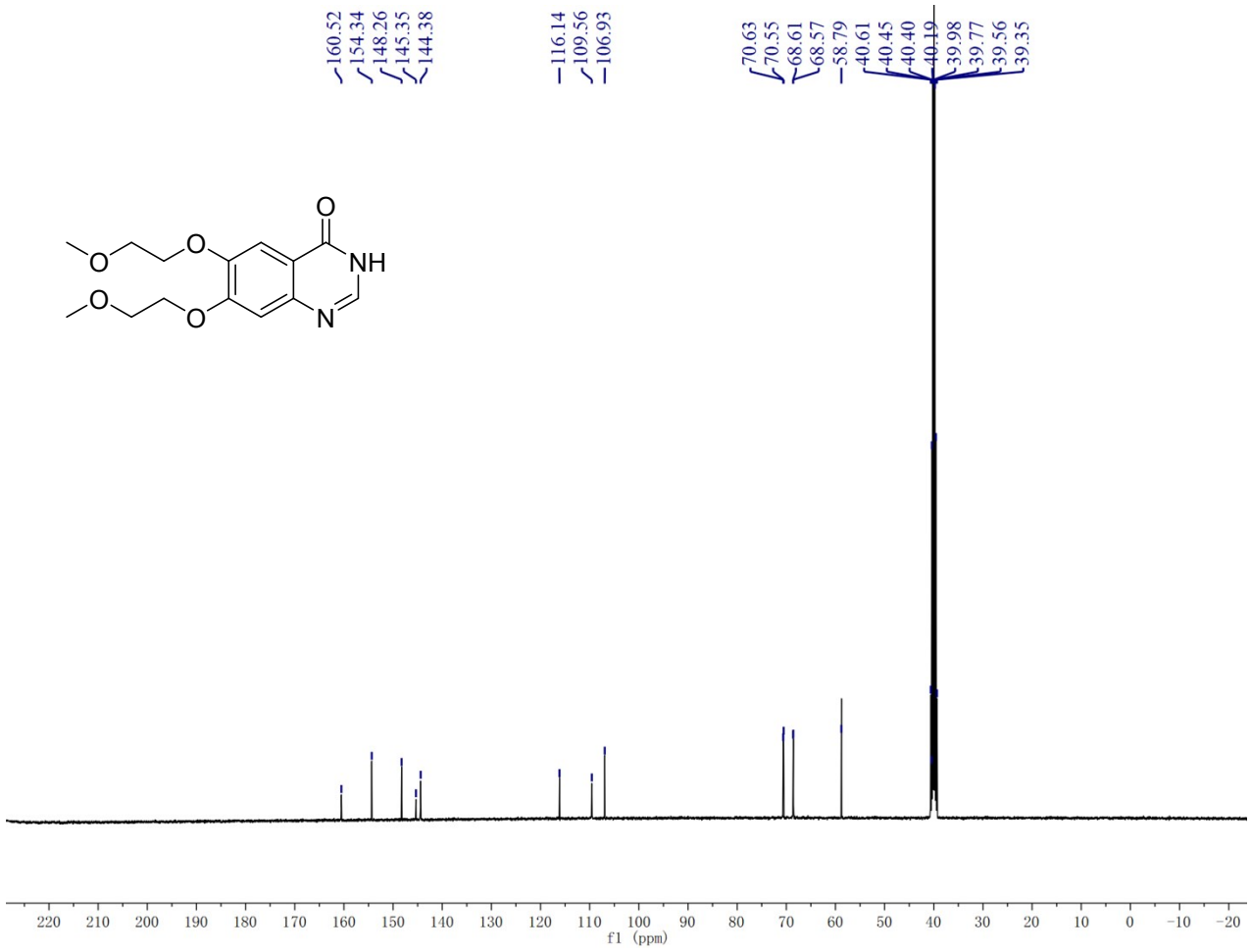
2-amino-4,5-bis(2-methoxyethoxy)benzamide **8**



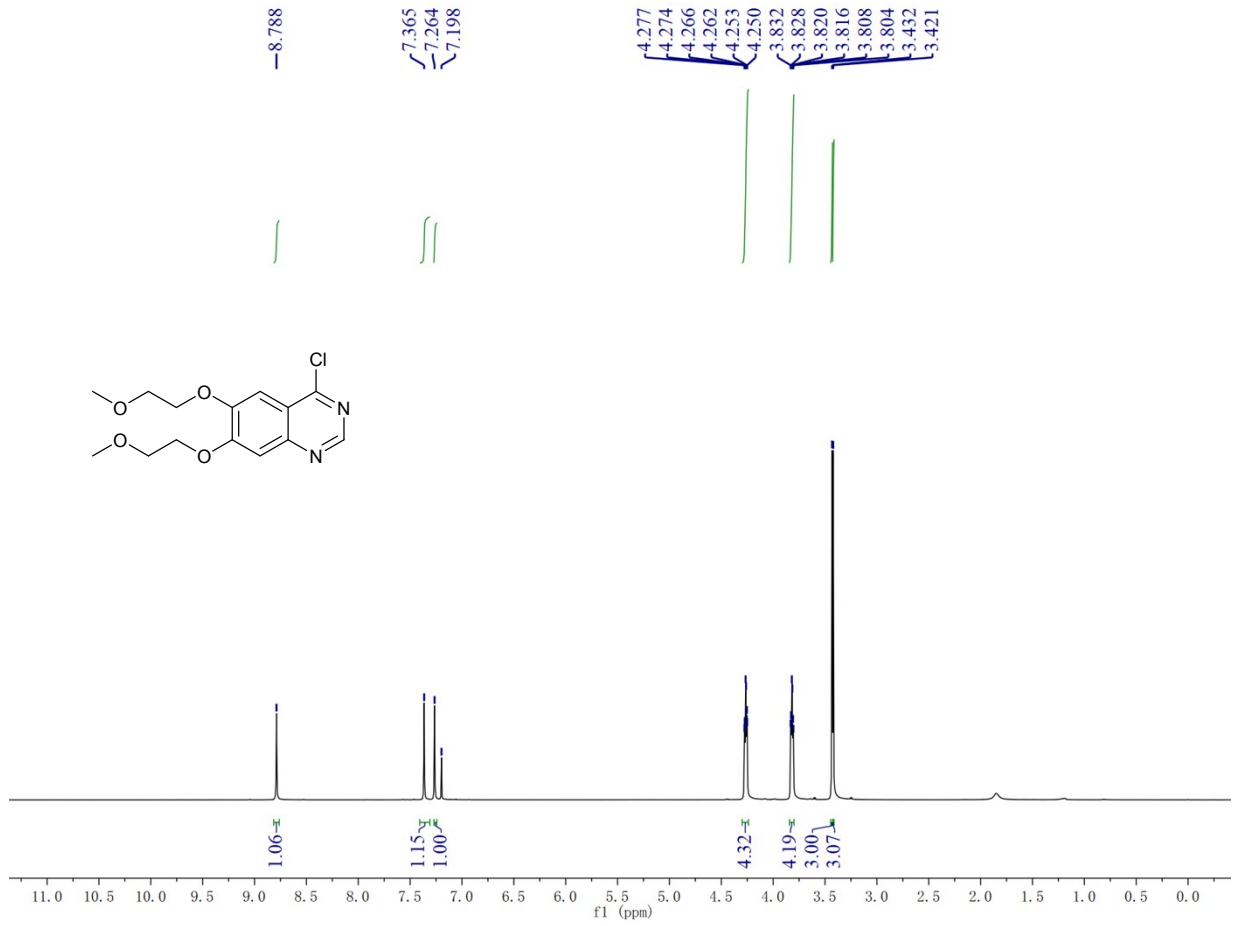


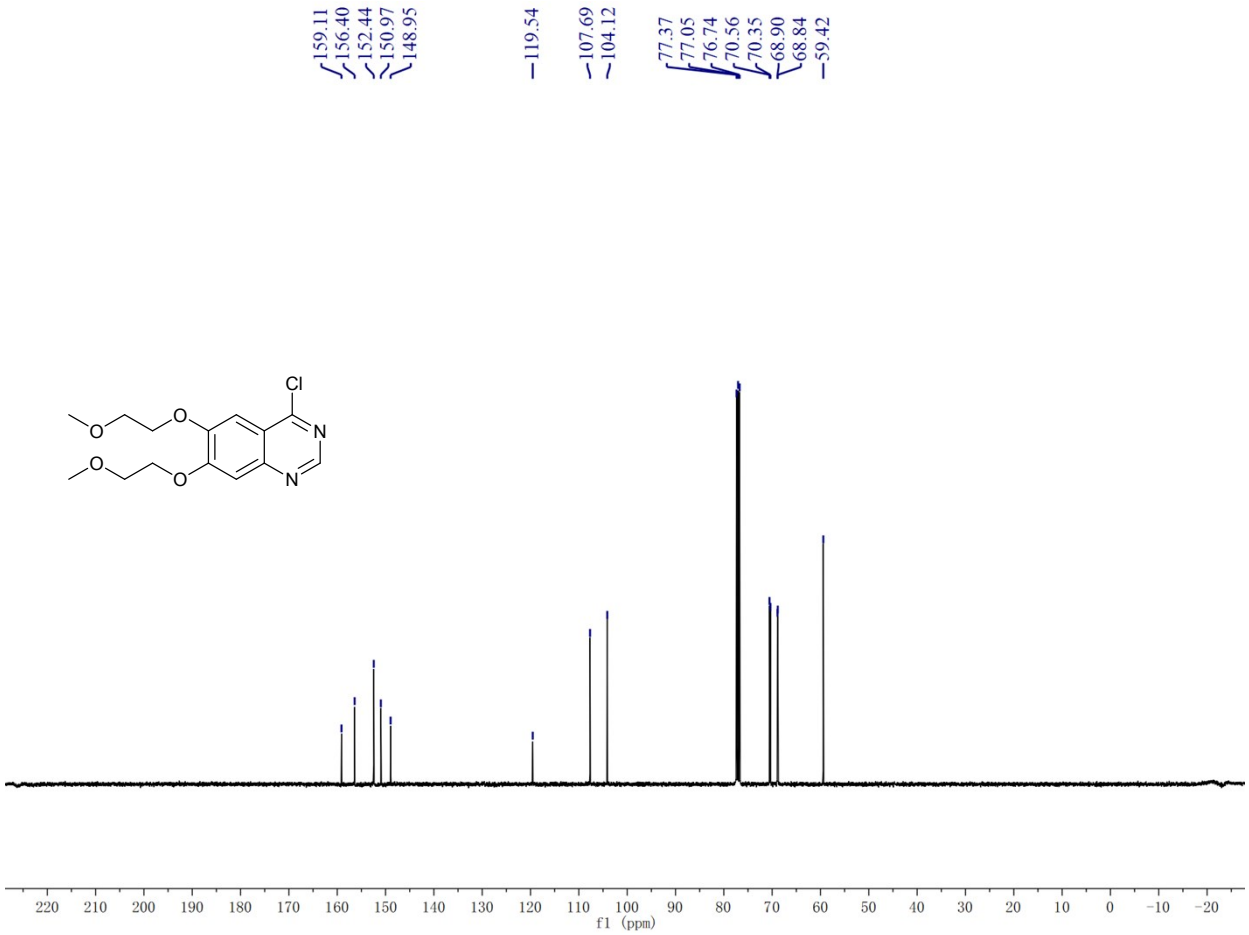
6,7-bis(2-methoxyethoxy)quinazolin-4(3H)-one **9**





4-chloro-6,7-bis(2-methoxyethoxy)quinazoline **10**





N-(3-ethynylphenyl)-6,7-bis(2-methoxyethoxy)quinazolin-4-amine **11**