Supporting Information

Radical Annulation of 2-Cyanoaryl Acrylamides via C═C Double Bond Cleavage: Access to Amino-Substituted 2-Quinolones

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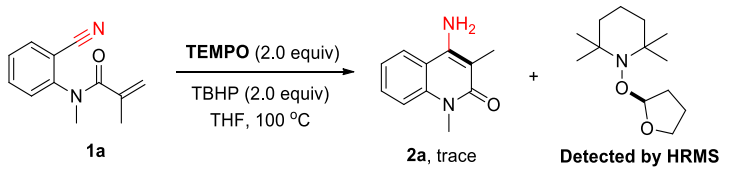
1. General information

^{1}H NMR and ^{13}C NMR spectra were recorded on Bruker AVANCE III HD 600 (600 MHz for ^{1}H; 151 MHz for ^{13}C) instruments internally referenced to tetramethylsilane (TMS) signal. Chemical shifts (δ) and coupling constants (J) were expressed in ppm and Hz, respectively. High-resolution mass spectra (HRMS) were measured on Agilent 6530 Accurate-Mass Q-TOF LC/MS spectrometer using electrospray ionization (ESI). The single crystal X-ray diffraction measurement was performed on ROD, Synergy Custom system, HyPix diffractometer with Cu-Kα radiation at 150 K. The structure was solved with Intrinsic Phasing Methods using SHELXT. Unless otherwise noted, materials were obtained from commercial suppliers and used without further purification. Column chromatography was carried out on silica gel (particle size 200-300 mesh ASTM). The starting arylacrylamides **1a-1b**, **1d-1o**, **1u-1y**, **1aa-1dd**, **1ii**, **1jj** and **1oo** were are known compounds, and were synthesized according to known procedures.[S1]

2. Mechanistic experiments

(1) Radical trapping experiments



In a Schlenk tube, acrylamide **1a** (0.3 mmol, 60 mg), TBHP (70% aqueous solution, 0.6 mmol, 77 mg), TEMPO (0.6 mmol, 94 mg) and THF (2 mL) were added. The mixture was allowed to stir at 100 °C (oil bath) for 8 hours. After the reaction was cooled to room temperature, the mixture was under HRMS (ESI) analysis. The result revealed the formation of TEMPO-THF.

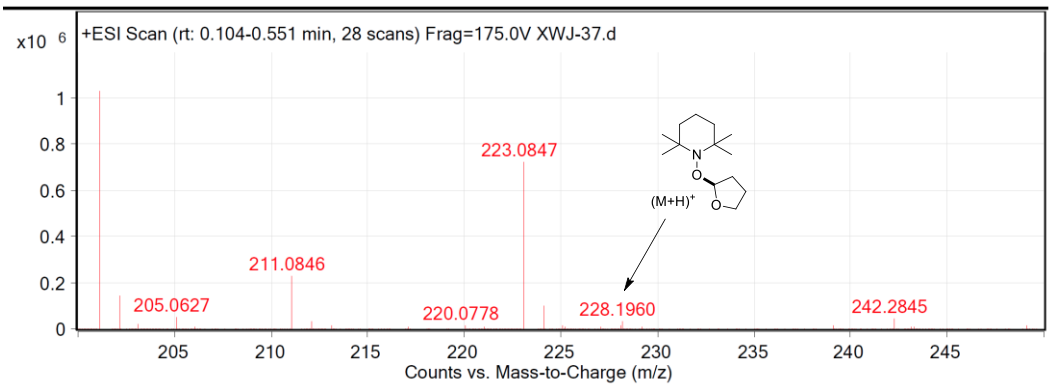
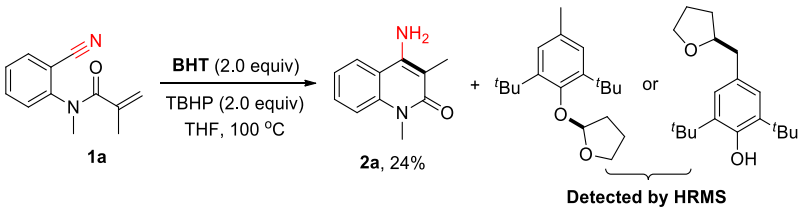


Figure S1. HRMS spectrum of the reaction solution.



In a Schlenk tube, acrylamide **1a** (0.3 mmol, 60 mg), TBHP (70% aqueous solution, 0.6 mmol, 77 mg), BHT (0.6 mmol, 132 mg) and THF (2 mL) were added. The mixture was allowed to stir at 100 °C (oil bath) for 8 hours. After the reaction was cooled to room temperature, the mixture was under HRMS (ESI) analysis. The result revealed the formation of BHT-THF.

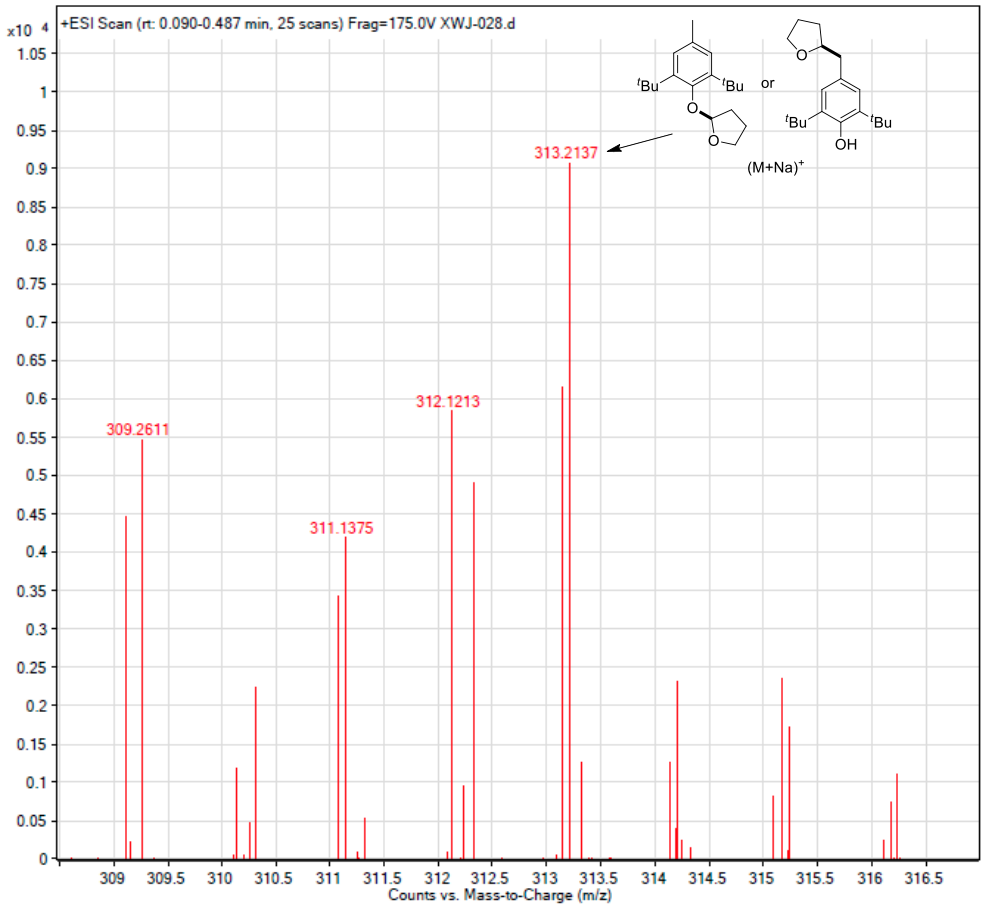
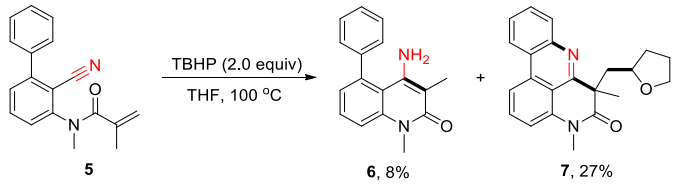


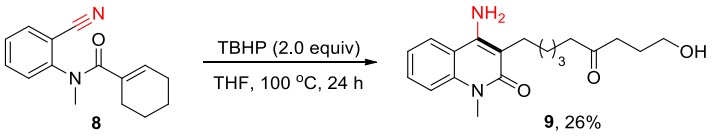
Figure S2. HRMS spectrum of the reaction solution.

(2) Detecting the intermediates



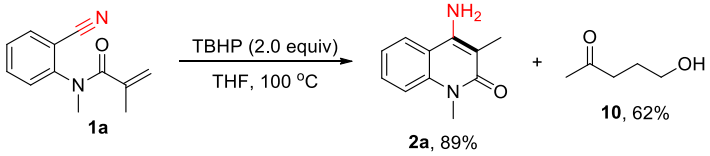
In a Schlenk tube, acrylamide **5** (0.3 mmol, 83 mg), TBHP (70% aqueous solution, 0.6 mmol, 77 mg) and THF (2 mL) were added. The mixture was allowed to stir at 100 °C (oil bath) for 8 hours. After the reaction was cooled to room temperature, the reaction mixture was concentrated by rotary evaporation and purified by flash chromatography on silica gel with petroleum ether/ethyl acetate (4/1) as the eluent to afford **6** (6 mg, 8%) and **7** (28 mg, 27%).

Compound **6**: white solid, mp 183–184 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50–7.44 (m, 4H), 7.43–7.39 (m, 3H), 6.96 (dd, *J* = 7.3, 1.1 Hz, 1H), 4.11 (br, 2H), 3.78 (s, 3H), 2.03 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.5, 147.4, 141.9, 139.7, 138.8, 129.1, 128.5, 128.2, 128.1, 125.2, 114.2, 112.4, 102.8, 30.3, 10.5. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{17}H_{17}N_2O$, 265.1335; found, 265.1333.

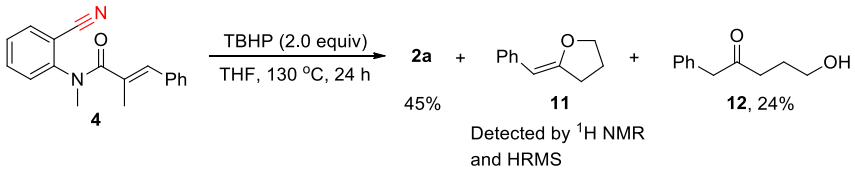


In a Schlenk tube, acrylamide **8** (0.3 mmol, 72 mg), TBHP (70% aqueous solution, 0.6 mmol, 77 mg) and THF

(2 mL) were added. The mixture was allowed to stir at 100 °C (oil bath) for 24 hours. After the reaction was cooled to room temperature, the reaction mixture was concentrated by rotary evaporation and purified by flash chromatography on silica gel with petroleum ether/ethyl acetate (1/20) as the eluent to afford **9** as white solid (26 mg, 26%). Mp 95–97 °C. ^{1}H NMR (600 MHz, acetone-d_6) δ 7.99–7.90 (m, 1H), 7.60–7.48 (m, 1H), 7.42 (d, *J* = 8.3 Hz, 1H), 7.25–7.10 (m, 1H), 5.71 (s, 2H), 3.62 (s, 3H), 3.51 (dd, *J* = 11.4, 6.1 Hz, 2H), 2.68–2.61 (m, 2H), 2.50 (t, *J* = 7.3 Hz, 2H), 2.44 (t, *J* = 7.3 Hz, 2H), 1.78–1.66 (m, 2H), 1.64–1.44 (m, 4H), 1.44–1.31 (m, 2H). ^{13}C NMR (151 MHz, acetone-d_6) δ 210.7, 163.0, 147.3, 140.0, 130.4, 123.1, 121.4, 115.9, 115.1, 107.1, 61.7, 42.9, 39.5, 30.0, 29.2, 28.1, 27.7, 25.7, 24.4. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{19}H_{26}N_2O_3Na$, 353.1836; found, 353.1843.



In a Schlenk tube, acrylamide **1a** (0.3 mmol, 60 mg), TBHP (70% aqueous solution, 0.6 mmol, 77 mg) and THF (2 mL) were added. The mixture was allowed to stir at 100 °C (oil bath) for 8 hours. After the reaction was cooled to room temperature, the reaction mixture was concentrated by rotary evaporation and purified by flash chromatography on silica gel with petroleum ether/ethyl acetate as the eluent to afford **2a** (50 mg, 89%) and **10** (19 mg, 62%).



In a Schlenk tube, acrylamide **4** (0.3 mmol, 83 mg), TBHP (70% aqueous solution, 0.6 mmol, 77 mg) and THF (2 mL) were added. The mixture was allowed to stir at 130 °C (oil bath) for 24 hours. After the reaction was cooled to room temperature, the reaction mixture was concentrated by rotary evaporation and purified by flash chromatography on silica gel with petroleum ether/ethyl acetate as the eluent to afford **2a** (25 mg, 45%) and **12** (13 mg, 24%). Benzylidenetetrahydrofuran **11** was detected by ^{1}H NMR and HRMS analyses of the crude product.[S2]

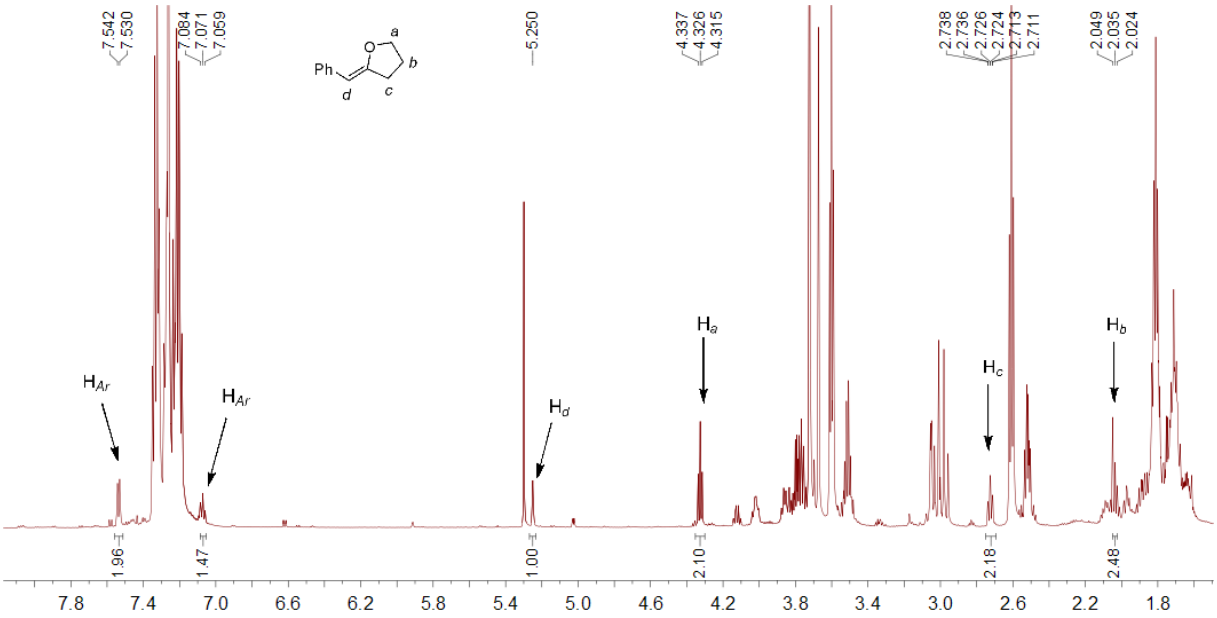


Figure S3. ^{1}HNMR spectrum of crude product.

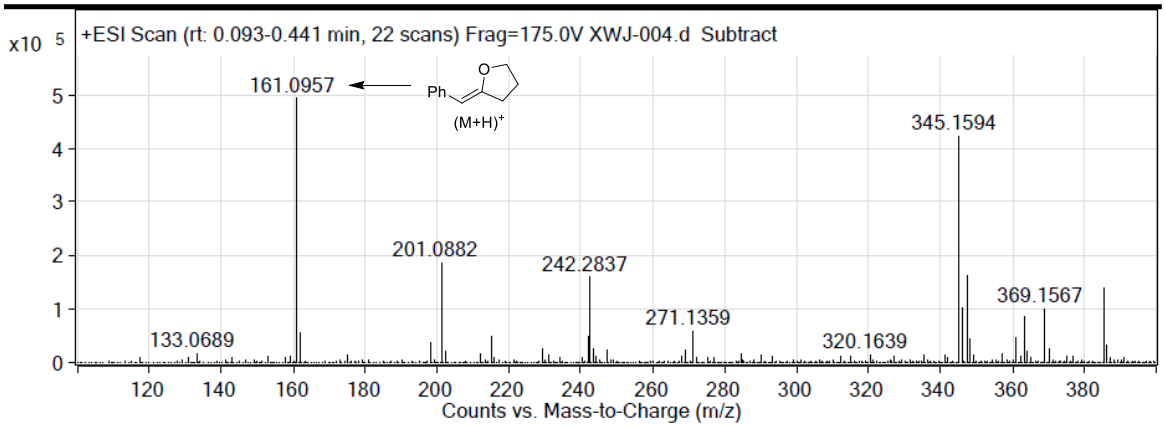
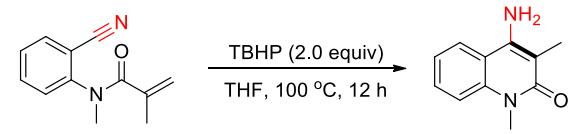


Figure S4. HRMS spectrum of crude product.

3. Gram-scale synthesis of 2a

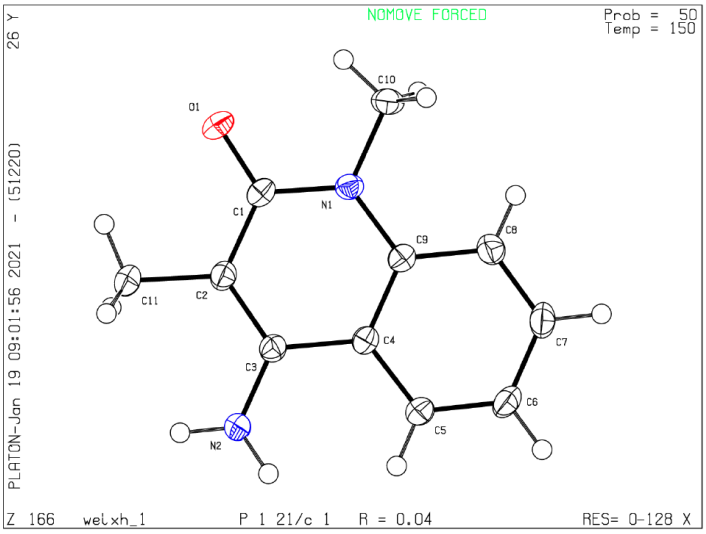


1a, 1.50 g, 7.5 mmol **2a**, 1.15 g, 82%

Acrylamide **1a** (1.50 g, 7.5 mmol), TBHP (70% aqueous solution, 1.93 g, 15.0 mmol), and THF (100 mL) were added in a pressure flask with a Teflon lined cap. The mixture was allowed to stir at 100 °C (oil bath) for 12 hours. After cooling down to room temperature, the reaction mixture was concentrated by rotary evaporation and purified by flash chromatography on silica gel with petroleum ether/ethyl acetate (1/1) as the eluent to afford the product **2a** with 82% yield (1.15 g).

4. X-ray crystallography data for 2a

Table S1. Crystal data and structure refinement for **2a** (thermal ellipsoid is set at 50% probability)



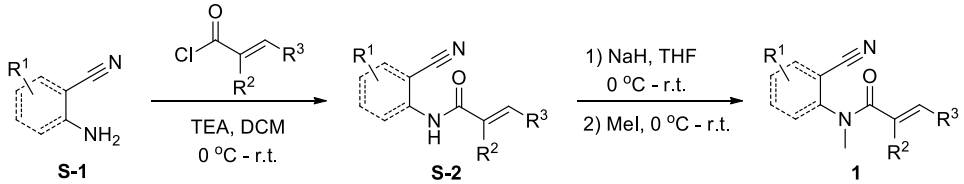
Empirical formula	$C_{11}H_{12}N_2O$
Formula weight	188.23

Temperature	149.99(10) K
Radiation	Cu-Kα (λ = 1.54184 Å)
Unit cell dimensions	a = 8.11210(10) Å
	b = 9.28950(10) Å
	c = 12.9406(2) Å
	α = 90.00 °
	β = 95.3570(10) °
	γ = 90.00 °
Volume	970.91(2) $Å^3$
Z	4
Calculated density	1.288 g/cm^3
Absorption coefficient	0.678 mm^{-1}
F(000)	400.0
Crystal size	0.21 × 0.17 × 0.14 mm^3
Theta range for data collection	11.744 to 151.906 °
Index ranges	-9 <= h <= 8, -11 <= k <= 11, -14 <= l <= 16
Reflections collected	5509
Independent reflections	1872 [R_{int} = 0.0099, R_{sigma} = 0.0093]
Data/restraints/parameters	1872/0/137
Goodness-of-fit on F^2	1.047
Final R indexes [I>=2σ (I)]	R_1 = 0.0377, wR_2 = 0.1042
Final R indexes [all data]	R_1 = 0.0388, wR_2 = 0.1050
Largest diff. peak/hole	0.30/-0.20 e $Å^{-3}$

Crystallization: Crystals of compound **2a** suitable for X-ray analysis were grown from the solvent of chloroform/acetone/petroleum ether by slow evaporation method.

5. The procedures for the synthesis of substrates

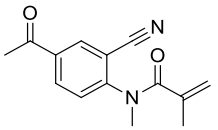
(1) The synthesis of substrates **1p**, **1q**, **1ee**, **1pp**, **1qq**, **1tt** and **1uu**



To the solution of amide **S-1** (5.0 mmol, 1.0 equiv) and Et_3N (7.5 mmol, 1.5 equiv) in 50 mL dry CH_2Cl_2 was added acyl chloride (6.0 mmol, 1.2 equiv) at 0 ℃. The mixture was allowed to stir at room temperature. After completion of the reaction, the reaction was quenched with saturated $NaHCO_3$ solution, then extracted with CH_2Cl_2, washed with brine, dried over $MgSO_4$ and concentrated by evaporator affording amide **S-2** without any further purification.

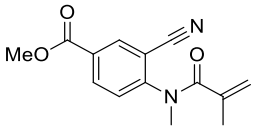
To the solution of amide **S-2** (5.0 mmol, 1.0 equiv) in 50 mL dry THF was added NaH (7.5 mmol, 1.5 equiv) at 0 ℃ under argon. The mixture was allowed to stir at room temperature for 1 h, then MeI (7.5 mmol, 1.5 equiv) was

added to the reaction mixture dropwise at 0 ℃. The reaction mixture was warmed to room temperature. After completion of the reaction, the reaction was cooled to 0 ℃ and quenched with H_2O and extracted with ether. The extract was washed with brine and dried over $MgSO_4$. Concentration under reduced pressure and purification by silica gel flash chromatography to afford amide **1**.



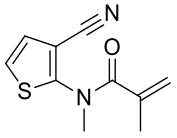
1p

***N*-(4-acetyl-2-cyanophenyl)-*N*-methylmethacrylamide (1p)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 532 mg (44%) of **1p**. White solid, mp 71–72 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.26 (d, *J* = 2.0 Hz, 1H), 8.18 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.38 (d, *J* = 8.4 Hz, 1H), 5.19 (s, 1H), 5.01 (s, 1H), 3.43 (s, 3H), 2.65 (s, 3H), 1.94 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 194.8, 171.4, 151.2, 139.6, 135.8, 133.9, 133.4, 128.7, 120.8, 115.5, 112.1, 37.8, 26.6, 19.9. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{14}H_{15}N_2O_2$, 243.1128; found, 243.1118.



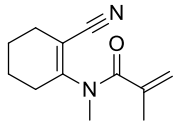
1q

Methyl 3-cyano-4-(*N*-methylmethacrylamido)benzoate (1q). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 552 mg (43%) of **1q**. White solid, mp 53–54 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.36 (d, *J* = 1.9 Hz, 1H), 8.26 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.36 (d, *J* = 8.4 Hz, 1H), 5.18 (s, 1H), 5.00 (s, 1H), 3.97 (s, 3H), 3.43 (s, 3H), 1.93 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.4, 164.4, 151.1, 139.6, 135.1, 134.8, 129.6, 128.5, 120.7, 115.4, 111.9, 52.8, 37.7, 19.9. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{14}H_{14}N_2O_3Na$, 281.0897; found, 281.0893.



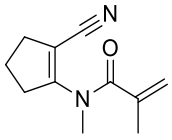
1ee

***N*-(3-cyanothiophen-2-yl)-*N*-methylmethacrylamide (1ee)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 530 mg (51%) of **1ee** White solid, mp 50–53 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.18 (d, *J* = 5.8 Hz, 1H), 7.08 (d, *J* = 5.8 Hz, 1H), 5.27 (s, 1H), 5.18 (s, 1H), 1.96 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.5, 155.8, 139.1, 126.8, 123.5, 120.0, 113.7, 105.7, 39.2, 20.1. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{10}H_{11}N_2OS$, 207.0587; found, 207.0584.



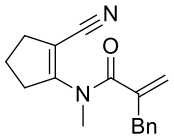
1pp

***N*-(2-cyanocyclohex-1-en-1-yl)-*N*-methylmethacrylamide (1pp)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 541 mg (53%) of **1pp**. Colorless liquid, ^{1}H NMR (600 MHz, $CDCl_3$) δ 5.28 (s, 1H), 5.20 (s, 1H), 3.17 (s, 3H), 2.40–2.31 (m, 2H), 2.28 (s, 2H), 2.02 (s, 3H), 1.79–1.71 (m, 2H), 1.71–1.63 (m, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 170.9, 155.9, 140.2, 117.8, 117.1, 109.2, 34.9, 29.1, 26.9, 21.5, 20.7, 19.9. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{12}H_{17}N_2O$, 205.1335; found, 205.1331.



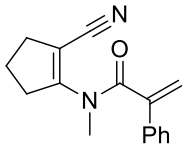
1qq

***N*-(2-cyanocyclopent-1-en-1-yl)-*N*-methylmethacrylamide (1qq)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 550 mg (58%) of **1qq**. White solid, mp 56–58 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 5.35 (s, 1H), 5.24 (s, 1H), 2.69–2.61 (m, 4H), 2.05–1.97 (m, 5H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.4, 160.0, 140.4, 119.3, 115.8, 102.0, 35.8, 35.1, 32.6, 21.8, 19.5. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{14}N_2ONa$, 213.0998; found, 213.0995.



1tt

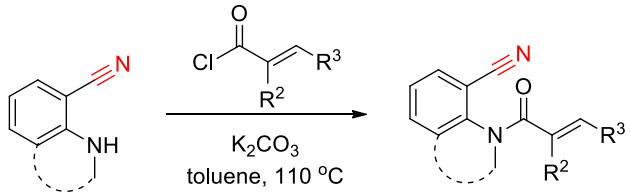
2-Benzyl-*N*-(2-cyanocyclopent-1-en-1-yl)-*N*-methylacrylamide (1tt). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 7/1) to afford 545 mg (41%) of **1tt**. White solid, mp 63–65 °C.^{1}H NMR (600 MHz, $CDCl_3$) δ 7.31 (t, *J* = 7.4 Hz, 2H), 7.26–7.19 (m, 3H), 5.31 (s, 1H), 5.18–5.16 (m, 1H), 3.69 (s, 2H), 3.24 (s, 3H), 2.62–2.57 (m, 2H), 2.53–2.47 (m, 2H), 1.96–1.89 (m, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 170.8, 159.9, 144.4, 137.4, 129.3, 128.6, 126.6, 119.0, 115.9, 101.5, 39.3, 36.3, 35.0, 32.5, 21.8. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{17}H_{19}N_2O$, 267.1492; found, 267.1488.



1uu

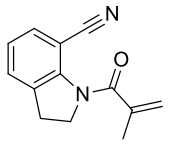
***N*-(2-cyanocyclopent-1-en-1-yl)-*N*-methyl-2-phenylacrylamide (1uu)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 5/1) to afford 554 mg (44%) of **1uu**. White solid, mp 68–69 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.39–7.28 (m, 5H), 5.87 (s, 1H), 5.79 (s, 1H), 3.22 (s, 3H), 2.44–2.39 (m, 2H), 2.26 (t, *J* = 7.4 Hz, 2H), 1.65–1.57 (m, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 169.5, 158.7, 145.1, 136.3, 128.7, 128.4, 126.2, 121.4, 115.7, 105.0, 35.1, 33.6, 32.2, 21.2. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{16}H_{17}N_2O$, 253.1335; found, 253.1332.

(2) The synthesis of substrates **1ff-hh**, **1kk-nn**, **3**, **4** and **8**:



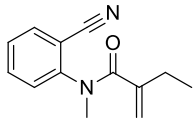
S-3 **1**

To a stirred solution of amine (4.0 mmol, 1.0 equiv) in dry toluene (20 mL) were added anhydrous K_2CO_3 (8.0 mmol, 2.0 equiv) and acyl chloride (6.0 mmol, 1.5 equiv). The mixture was heated to 110℃ (oil bath) for 12 h. After completion, the reaction was quenched with H_2O and extracted with EtOAc. The extract was washed with brine and dried over $MgSO_4$. Concentration under reduced pressure and purification by silica gel flash chromatography to afford the amide **1**.



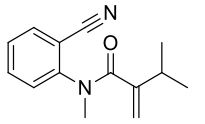
1ff

1-**Methacryloylindoline-7-carbonitrile (1ff)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 297 mg (35%) of **1ff**. White solid, mp 117–118 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.8 Hz, 1H), 7.44 (dd, *J* = 7.5, 1.2 Hz, 1H), 7.12 (t, *J* = 7.7 Hz, 1H), 5.58 (s, 1H), 5.50 (s, 1H), 4.21 (t, *J* = 8.0 Hz, 2H), 3.11 (t, *J* = 8.0 Hz, 2H), 2.09 (s, 3H).^{13}C NMR (151 MHz, $CDCl_3$) δ 170.1, 144.2, 140.1, 135.5, 131.7, 129.0, 124.5, 121.3, 117.0, 103.1, 52.4, 29.1, 19.1. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{13}H_{13}N_2O$, 213.1022; found, 213.1015.



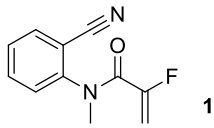
1gg

***N*-(2-cyanophenyl)-*N*-methyl-2-methylenebutanamide (1gg)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 2/1) to afford 418 mg (48%) of **1gg**. White solid, mp 58–59 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 7.7, 1.2 Hz, 1H), 7.63 (t, *J* = 7.6 Hz, 1H), 7.42 (td, *J* = 7.7, 0.9 Hz, 1H), 7.31–7.22 (m, 1H), 5.21 (d, *J* = 122.9 Hz, 2H), 3.40 (s, 3H), 2.25 (s, 2H), 1.03 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.9, 147.3, 145.7, 133.9, 133.7, 128.9, 127.9, 117.5, 116.2, 111.9, 37.7, 26.2, 11.6. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{13}H_{15}N_2O$, 215.1179; found, 215.1172.



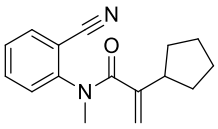
1hh

***N*-(2-cyanophenyl)-*N*,3-dimethyl-2-methylenebutanamide (1hh)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 6/1) to afford 642 mg (70%) of **1hh**. White solid, mp 40–42 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.72 (dd, *J* = 7.7, 1.1 Hz, 1H), 7.63 (s, 1H), 7.42 (td, *J* = 7.7, 0.8 Hz, 1H), 7.28 (s, 1H), 5.17 (s, 2H), 3.40 (s, 3H), 2.52 (s, 1H), 1.06 (s, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.1, 150.2, 147.0, 133.8, 133.7, 129.2, 127.9, 116.3, 112.0, 37.5, 31.0, 21.1. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{14}H_{17}N_2O$, 229.1335; found, 229.1329.



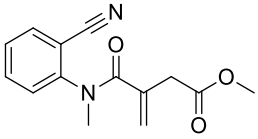
1kk

***N*-(2-cyanophenyl)-2-fluoro-*N*-methylacrylamide (1kk)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 5/1) to afford 343 mg (42%) of **1kk**. White solid, mp 46–48 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.75 (dd, *J* = 7.7, 1.3 Hz, 1H), 7.67 (td, *J* = 7.9, 1.3 Hz, 1H), 7.69–7.64 (m, 1H), 7.33 (d, *J* = 8.0 Hz, 1H), 5.52 (dd, *J* = 45.7, 3.4 Hz, 1H), 5.06 (d, *J* = 14.6 Hz, 1H), 3.41 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 157.4, 155.6, 145.9 (d, *J* = 2.8 Hz), 134.0, 133.7, 128.4, 127.9 (d, *J* = 2.5 Hz), 115.8, 111.4, 102.0 (d, *J* = 14.6 Hz), 38.3. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{11}H_{10}FN_2O$, 205.0772; found, 205.0775.



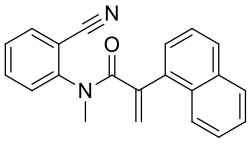
1ll

***N*-(2-cyanophenyl)-2-cyclopentyl-*N*-methylacrylamide (1ll)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 5/1) to afford 698 mg (78%) of **1ll**. White solid, mp 35–36 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.72 (d, *J* = 7.7 Hz, 1H), 7.63 (s, 1H), 7.43 (t, *J* = 7.7 Hz, 1H), 7.29 (d, *J* = 12.6 Hz, 1H), 5.15 (s, 2H), 3.40 (s, 3H), 2.54 (s, 1H), 2.04–1.29 (m, 8H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.0, 147.8, 133.8, 133.6, 129.2, 127.9, 116.8, 116.2, 111.9, 43.0, 37.3, 31.3, 24.5. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{16}H_{19}N_2O$, 225.1492; found, 225.1485.



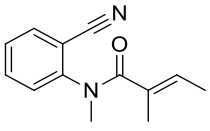
1mm

Methyl 3-((2-cyanophenyl)(methyl)carbamoyl)but-3-enoate (1mm). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 796 mg (77%) of **1mm**. White solid, mp 63–64 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.72 (d, *J* = 7.7 Hz, 1H), 7.65–7.55 (m, 2H), 7.41 (t, *J* = 8.2 Hz, 1H), 5.37 (s, 1H), 5.09 (s, 1H), 3.73 (s, 3H), 3.66–2.87 (m, 5H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.4, 147.8, 136.1, 134.0, 133.6, 129.4, 127.7, 124.2, 116.3, 111.3, 52.0, 39.0. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{14}H_{14}N_2O_3Na$, 281.0897; found, 281.0888.



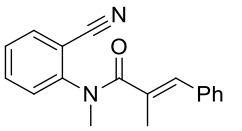
1nn

***N*-(2-cyanophenyl)-*N*-methyl-2-(naphthalen-1-yl)acrylamide (1nn)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 686 mg (55%) of **1nn**. White solid, mp 118–119 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.8 Hz, 1H), 7.75 (d, *J* = 7.5 Hz, 1H), 7.61 (d, *J* = 7.7 Hz, 1H), 7.50–7.36 (m, 2H), 7.19 (d, *J* = 7.0 Hz, 1H), 7.08 (t, *J* = 6.7 Hz, 2H), 6.99 (t, *J* = 6.8 Hz, 1H), 6.74 (d, *J* = 6.3 Hz, 1H), 6.60 (d, *J* = 7.4 Hz, 1H), 6.39 (s, 1H), 6.69 (s, 1H), 3.30 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 170.7, 145.6, 144.8, 134.8, 133.2, 133.1, 130.2, 129.0, 128.2, 128.1, 127.3, 127.1, 126.4, 126.3, 126.0, 125.2, 124.7, 115.3, 111.6, 37.6. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{21}H_{17}N_2O$, 313.1335; found, 313.1327.



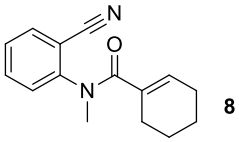
3

(*E*)-*N*-(2-cyanophenyl)-*N*,2-dimethylbut-2-enamide (3). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 652 mg (76%) of **3**. White solid, mp 104–105 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.70 (dd, *J* = 7.8, 1.3 Hz, 1H), 7.63–7.59 (m, 1H), 7.41–7.37 (m, 1H), 7.28 (s, 1H), 7.23 (d, *J* = 8.0 Hz, 1H), 5.75 (dd, *J* = 12.7, 6.2 Hz, 1H), 3.38 (s, 3H), 1.70 (s, 3H), 1.51 (d, *J* = 6.7 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 173.1, 147.9, 133.8, 133.7, 131.7, 131.4, 128.6, 127.4, 116.3, 111.6, 37.8, 13.8, 13.4. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{13}H_{15}N_2O$, 215.1179; found, 215.1173.



4

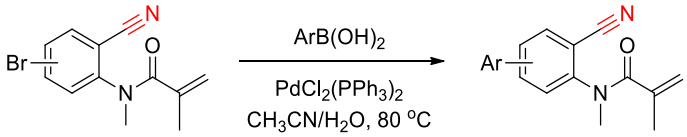
(*E*)-*N*-(2-cyanophenyl)-*N*,2-dimethyl-3-phenylacrylamide (4). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 3/1) to afford 762 mg (69%) of **4**. White solid, mp 90–92 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.65–7.60 (m, 1H), 7.42–7.37 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.30–7.27 (m, 2H), 7.22 (t, *J* = 7.3 Hz, 1H), 7.06 (d, *J* = 6.4 Hz, 2H), 6.61 (s, 1H), 3.46 (s, 3H), 1.97 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 173.1, 147.7, 135.5, 134.2, 133.9, 133.8, 132.4, 128.8, 128.7, 128.2, 127.7, 127.6, 116.3, 111.7, 37.8, 15.9. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{18}H_{17}N_2O$, 277.1335; found, 277.1330.



8

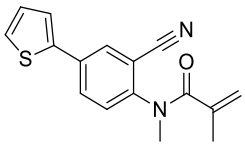
***N*-(2-cyanophenyl)-*N*-methylcyclohex-1-ene-1-carboxamide (8)**. Purification was performed by column chromatography (petroleum ether/ethyl acetate = 4/1) to afford 605 mg (63%) of **8**. White solid, mp 117–119 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.70 (dd, *J* = 7.8, 1.3 Hz, 1H), 7.64–7.59 (m, 1H) 7.42–7.37 (m, 1H), 7.25 (d, *J* = 8.0 Hz, 1H), 5.86 (s, 1H), 3.38 (s, 3H), 2.12 (s, 2H), 1.89 (s, 2H), 1.57–1.48 (m, 2H), 1.43 (dd, *J* = 11.3, 5.6 Hz, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.3, 147.9, 133.72, 133.71, 133.6, 128.6, 127.5, 116.3, 111.6, 37.7, 25.5, 24.9, 21.8, 21.3. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{15}H_{17}N_2O$, 241.1335; found, 241.1328.

(3) The synthesis of substrates **1t** and **1z**:



1n, **1x** **1t**, **1z**

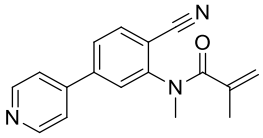
Amide **1n** or **1x** (1.0 mmol, 1.0 equiv), arylboronic acid (1.5 mmol, 1.5 equiv), $PdCl_2(PPh_3)_2$ (0.02 mmol, 14 mg) and Cs_2CO_3 (978 mg, 3.0 mmol, 3.0 equiv) were added in 25 mL toluene. The reaction mixture was stirred at 80 °C (oil bath) under argon atmosphere for 12 h. After completion of the reaction, the resulting solution was cooled to room temperature and diluted with EtOAc. The solution was washed with water and saturated brine, and the organic layers were dried over Na_2SO_4. Concentration under reduced pressure and purification by silica gel flash chromatography to afford the desired substrates **1t** or **1z**.



1t

***N*-(2-cyano-4-(thiophen-2-yl)phenyl)-*N*-methylmethacrylamide** (**1t**). Product **1t** was synthesized from amide **1n** and thiophen-2-ylboronic acid, and isolated in 93% yield (263 mg) using petroleum ether/ethyl acetate (5/1) as eluent for chromatography. White solid, mp 120–121 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.89 (d, *J* = 2.1 Hz, 1H), 7.81 (dd, *J* = 8.4, 2.1 Hz, 1H), 7.40 (dd, *J* = 5.0, 0.8 Hz, 1H), 7.37 (dd, *J* = 3.6, 0.9 Hz, 1H), 7.26 (s, 1H), 7.13 (dd, *J* = 5.0, 3.7 Hz, 1H), 5.16 (s, 1H), 5.06 (s, 1H), 3.41 (s, 3H), 1.92 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.7,

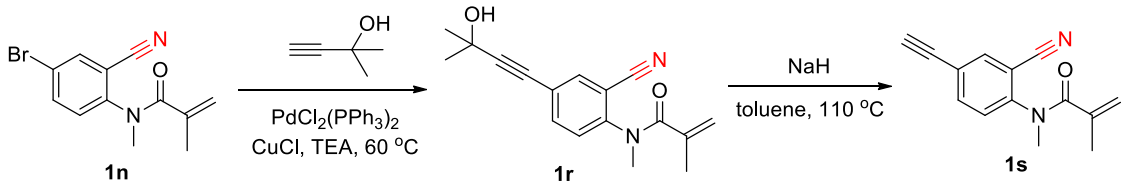
145.9, 140.5, 139.8, 134.4, 130.8, 130.4, 129.1, 128.5, 126.8, 124.8, 120.2, 116.0, 112.3, 37.7, 20.1. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{16}H_{15}N_2OS$, 283.0900; found, 283.0892.



1z

***N*-(2-cyano-5-(pyridin-4-yl)phenyl)-*N*-methylmethacrylamide** (**1z**). Product **1z** was synthesized from amide **1x** and pyridin-4-ylboronic acid, and isolated in 92% yield (255 mg) using petroleum ether/ethyl acetate (1/2) as eluent for chromatography. White solid, mp 129–131 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.76 (dd, *J* = 4.5, 1.6 Hz, 2H), 7.84 (d, *J* = 8.1 Hz, 1H), 7.68 (dd, *J* = 8.1, 1.7 Hz, 1H), 7.54 (s, 1H), 7.49 (dd, *J* = 4.5, 1.6 Hz, 2H), 5.21 (s, 1H), 5.11 (s, 1H), 3.46 (s, 3H), 1.95 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.6, 150.7, 150.6, 145.5, 145.3, 144.0, 139.8, 134.4, 127.1, 126.3, 121.42, 121.37, 120.0, 115.8, 111.9, 37.9, 20.1. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{17}H_{16}N_3O$, 278.1288; found, 278.1281.

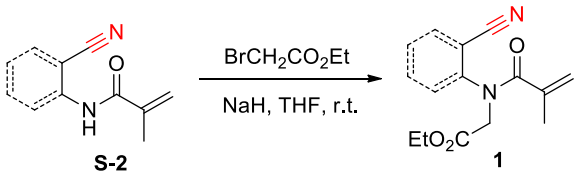
(4) The synthesis of substrates **1r** and **1s**:



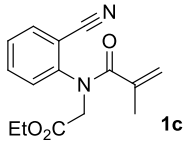
Amide **1n** (3.0 mmol, 837 mg, 1.0 equiv), 2-methylbut-3-yn-2-ol (3.6 mmol, 303 mg, 1.2 equiv), $PdCl_2(PPh_3)_2$ (0.06 mmol, 42 mg, 2 mol%) and CuI (0.06 mmol, 12 mg, 2 mol%) were added in 8 mL TEA. The reaction mixture was stirred at 60 °C (oil bath) for 3 h. After completion of the reaction, the resulting solution was cooled to room temperature and diluted with EtOAc. The solution was washed with water and saturated brine, and the organic layers were dried over Na_2SO_4. Concentration under reduced pressure and purification by flash chromatography on silica gel with petroleum ether/ethyl acetate (3/1) as the eluent to afford **1r** as white solid (542 mg, 64%). Mp 188–189 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.72 (d, *J* = 1.8 Hz, 1H), 7.62 (dd, *J* = 8.3, 1.9 Hz, 1H), 7.21 (d, *J* = 8.3 Hz, 1H), 5.15 (s, 1H), 5.00 (s, 1H), 3.38 (s, 3H), 2.27 (s, 1H), 1.89 (s, 3H), 1.62 (s, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.5, 146.7, 139.7, 136.7, 136.5, 128.5, 123.1, 120.3, 115.5, 112.0, 97.1, 79.0, 65.5, 37.7, 31.2, 20.0. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{17}H_{18}N_2O_2Na$, 305.1260; found, 305.1254.

To a solution of **1r** (282 mg, 1.0 mmol, 1.0 equiv) in dry toluene (10 mL) under argon atmosphere was added NaH (20 mg, 0.5 mmol, 0.5 equiv) as a 60% dispersion in oil. The reaction mixture was stirred at 110 °C (oil bath) for 2 h. After completion, the reaction was quenched with H_2O and extracted with EtOAc. The extract was washed with brine and dried over $MgSO_4$. Concentration under reduced pressure and purification by flash chromatography on silica gel with petroleum ether/ethyl acetate (5/1) as the eluent to afford **1s** as white solid (180 mg, 80%). Mp 82–84 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.79 (d, *J* = 1.8 Hz, 1H), 7.70 (dd, *J* = 8.3, 1.9 Hz, 1H), 7.24 (d, *J* = 8.3 Hz, 1H), 5.17 (s, 1H), 5.01 (s, 1H), 3.39 (s, 3H), 3.26 (s, 1H), 1.91 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.5, 147.3, 139.6, 137.2, 137.0, 128.6, 122.3, 120.4, 115.3, 112.1, 80.6, 80.3, 37.7, 19.9. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{14}H_{12}N_2ONa$, 247.0842; found, 247.0835.

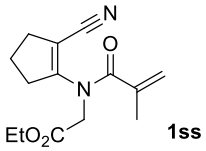
(5) The synthesis of substrates **1c** and **1ss**:



To the solution of **S-2** (2.0 mmol, 1.0 equiv) in 20 mL dry THF was added NaH (160 mg, 4.0 mmol, 2.0 equiv) at 0 ℃ under argon. The mixture was allowed to stir for 15 min, then ethyl 2-bromoacetate (0.45 mL, 4.0 mmol, 2.0 equiv) was added to the reaction mixture dropwise at 0 ℃. The reaction mixture was stirred overnight at room temperature. After completion of the reaction, the mixture was cooled to 0 ºC and quenched with H_2O. The solution was extracted by EtOAc, and the organic phase was dried over anhydrous $MgSO_4$. After evaporation solvent, the crude product was subjected to column chromatography to afford the amide **1**.

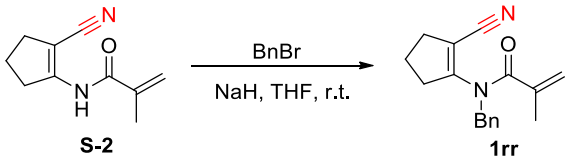


Ethyl *N*-(2-cyanophenyl)-*N*-methacryloylglycinate (1c). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 5/1) to afford 479 mg (88%) of **1c**. Colorless liquid. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.71 (dd, *J* = 7.7, 1.1 Hz, 1H), 7.66–7.59 (m, 1H), 7.56 (d, *J* = 7.9 Hz, 1H), 7.43 (td, *J* = 7.7, 1.0 Hz, 1H), 5.15 (s, 1H), 5.04 (s, 1H), 4.94 (s, 1H), 4.21 (s, 2H), 4.06 (s, 1H), 1.89 (s, 3H), 1.29 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.5, 168.6, 146.1, 139.1, 133.8, 133.5, 129.8, 128.1, 121.1, 116.1, 111.8, 61.4, 51.0, 19.8, 14.0. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{15}H_{16}N_2O_3Na$, 295.1053; found, 295.1045.



Ethyl *N*-(2-cyanocyclopent-1-en-1-yl)-*N*-methacryloylglycinate (1ss). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 6/1) to afford 247 mg (47%) of **1ss**. Colorless liquid. ^{1}H NMR (600 MHz, $CDCl_3$) δ 5.42 (s, 1H), 5.40 (s, 1H), 4.45 (s, 2H), 4.22 (q, *J* = 7.1 Hz, 2H), 2.74–2.67 (m, 2H), 2.66–2.59 (m, 2H), 2.04 (s, 3H), 2.02–1.96 (m, 2H), 1.30 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.4, 168.5, 159.3, 139.9, 120.6, 115.4, 102.3, 61.6, 49.4, 35.5, 32.5, 21.7, 19.1, 14.0. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{14}H_{18}N_2O_3Na$, 285.1210 ; found, 285.1208.

(6) The synthesis of substrate **1rr**:



To the solution of **S-2** (540 mg, 5.0 mmol, 1.0 equiv) in 50 mL dry THF was added NaH (400 mg, 10.0 mmol, 2.0 equiv) at 0 ℃ under argon. The mixture was allowed to stir for 15 min, then benzyl bromide (1.2 mL, 10.0 mmol, 2.0 equiv) was added to the reaction mixture dropwise at 0 ℃. The reaction mixture was stirred overnight at room temperature. After completion of the reaction, the mixture was cooled to 0 ℃ and quenched with H_2O. The

solution was extracted by EtOAc, and the organic phase was dried over anhydrous $MgSO_4$. After evaporation solvent, the crude product was subjected to column chromatography (petroleum ether/ethyl acetate = 8/1) to give the desired product **1rr** as colorless liquid (545 mg, 41%). ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.35–7.31 (m, 2H), 7.28 (dd, *J* = 8.7, 5.4 Hz, 3H), 5.39–5.36 (m, 1H), 5.34–5.30 (m, 1H), 4.94 (s, 2H), 2.54–2.46 (m, 2H), 2.44–2.37 (m, 2H), 2.08 (dd, *J* = 1.5, 1.0 Hz, 3H), 1.89–1.81 (m, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.2, 158.2, 140.3, 136.3, 128.6, 127.8, 127.7, 119.5, 115.6, 105.0, 50.0, 35.2, 32.3, 21.5, 19.4. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{17}H_{19}N_2O$, 267.1492; found, 267.1489.

6. General procedure for synthesis of 2

(1) General procedure I: synthesis of **2a-2h**, **2j**, **2l**, **2n-2u**, **2x**, **2z**, **2bb-2gg**, **2mm** and **2qq**.

Acrylamide **1** (0.3 mmol), TBHP (70% aqueous solution, 0.15 mmol, 19 mg), and THF (2 mL) were added in a sealed tube with a Teflon lined cap. The mixture was allowed to stir at 100 °C (oil bath) for 24 hours. After cooling down to room temperature, the reaction mixture was concentrated by rotary evaporation and purified by flash chromatography on silica gel with petroleum ether/ethyl acetate as the eluent to afford the corresponding product **2**.

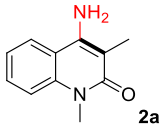
(2) General procedure II: synthesis of **2i**, **2k**, **2m**, **2v**, **2w**, **2y**, **2aa**, **2jj, 2kk**, **2nn** and **2oo.**

Acrylamide **1** (0.3 mmol), TBHP (70% aqueous solution, 0.6 mmol, 77 mg), and THF (2 mL) were added in a sealed tube with a Teflon lined cap. The mixture was allowed to stir at 100 °C (oil bath) for 8 hours. After cooling down to room temperature, the reaction mixture was concentrated by rotary evaporation and purified by flash chromatography on silica gel with petroleum ether/ethyl acetate as the eluent to afford the corresponding product **2**.

(3) General procedure III: synthesis of **2hh**, **2ii**, **2ll**, **2pp**, **2rr-2uu**.

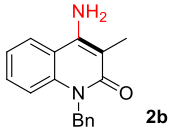
Acrylamide **1** (0.3 mmol), TBHP (70% aqueous solution, 0.6 mmol, 77 mg), and THF (2 mL) were added in a sealed tube with a Teflon lined cap. The mixture was allowed to stir at 110 °C (oil bath) for 12 hours. After cooling down to room temperature, the reaction mixture was concentrated by rotary evaporation and purified by flash chromatography on silica gel with petroleum ether/ethyl acetate as the eluent to afford the corresponding product **2**.

7. Characterization of compounds



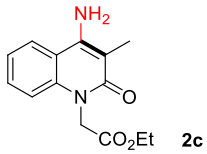
2a

4-Amino-1,3-dimethylquinolin-2(1*H*)-one (2a). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 49 mg (87%) of **2a**. White solid, mp 188–189 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.57 (dd, *J* = 8.1, 1.1 Hz, 1H), 7.55–7.50 (m, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.23–7.19 (m, 1H), 4.52 (br, 2H), 3.71 (s, 3H), 2.15 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.0, 145.8, 138.7, 129.8, 121.2, 121.1, 114.7, 114.6, 103.4, 29.5, 10.5. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{11}H_{13}N_2O$, 189.1022; found, 189.1024.



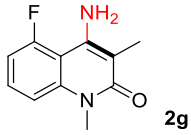
2b

4-Amino-1-benzyl-3-methylquinolin-2(1*H*)-one (2b). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 2/1) to afford 70 mg (88%) of **2b**. White solid, mp 224–225 °C. ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.04 (d, *J* = 8.1 Hz, 1H), 7.39 (t, *J* = 7.8 Hz, 1H), 7.28 (t, *J* = 7.6 Hz, 2H), 7.25–7.18 (m, 2H), 7.14 (dd, *J* = 7.1, 6.5 Hz, 3H), 6.32 (s, 2H), 5.48 (br, 2H), 2.05 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 162.2, 147.8, 138.1, 137.7, 129.6, 128.5, 126.7, 126.4, 122.9, 120.8, 115.0, 114.8, 99.0, 44.4, 11.0. HRMS (ESI): m/z: [M + H]$^+$ calc. for $C_{17}H_{17}N_2O$, 265.1335; found, 265.1331.



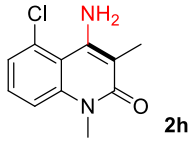
2c

Ethyl 2-(4-amino-3-methyl-2-oxoquinolin-1(2*H*)-yl)acetate (2c). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 2/1) to afford 62 mg (79%) of **2c**. White solid, mp 164–165 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50 (dd, *J* = 8.0, 0.9 Hz, 1H), 7.47–7.42 (m, 1H), 7.13 (dd, *J* = 11.2, 3.9 Hz, 1H), 7.04 (d, *J* = 8.4 Hz, 1H), 5.12 (s, 2H), 4.62 (br, 2H), 4.24 (q, *J* = 7.1 Hz, 2H), 2.00 (s, 3H), 1.28 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 169.3, 162.6, 146.9, 137.7, 129.8, 121.6, 121.5, 114.8, 113.7, 101.9, 61.6, 43.7, 14.1, 10.2. HRMS (ESI): m/z: [M + Na]$^+$ calc. For $C_{14}H_{16}N_2O_3Na$, 283.1053; found, 283.1056.



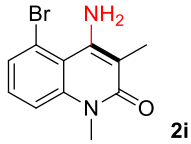
2g

4-Amino-5-fluoro-1,3-dimethylquinolin-2(1*H*)-one (2g). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 55 mg (89%) of **2g**. White solid, mp 177–179 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.44–7.37 (m, 1H), 7.10 (d, *J* = 8.6 Hz, 1H), 6.87 (dd, *J* = 14.0, 8.1 Hz, 1H), 5.09 (br, 2H), 3.67 (s, 3H), 2.10 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.4, 160.0 (d, *J* = 246.2 Hz), 145.5 (d, *J* = 2.9 Hz), 140.5 (d, *J* = 6.2 Hz), 129.5 (d, *J* = 12.4 Hz), 110.7 (d, *J* = 3.0 Hz), 107.9 (d, *J* = 25.2 Hz), 104.4 (d, *J* = 10.4 Hz), 102.4, 30.3, 10.0. HRMS (ESI): m/z: [M + Na]$^+$ calc. for $C_{11}H_{11}FN_2ONa$, 229.0748; found, 229.0748.



2h

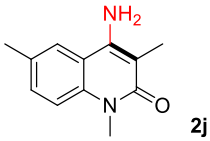
4-Amino-5-chloro-1,3-dimethylquinolin-2(1*H*)-one (2h). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 41 mg (61%) of **2h**. White solid, mp 177–179 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.68 (d, *J* = 2.1 Hz, 1H), 7.58 (dd, *J* = 9.0, 2.2 Hz, 1H), 7.20 (d, *J* = 9.0 Hz, 1H), 4.51 (br, 2H), 3.67 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.6, 144.8, 137.5, 132.4, 123.9, 116.3, 116.2, 114.1, 104.4, 29.7, 10.6. HRMS (ESI): m/z: [M + Na]$^+$ calc. for $C_{11}H_{11}ClN_2ONa$, 245.0452; found, 245.0454.



2i

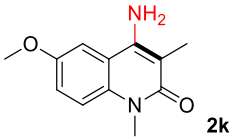
4-Amino-5-bromo-1,3-dimethylquinolin-2(1*H*)-one (2i). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 53 mg (66%) of **2i**. White solid, mp 168–179 °C. ^{1}H NMR (600 MHz,

$CDCl_3$) δ 7.43 (dd, *J* = 7.7, 0.8 Hz, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.21–7.24 (m, 1H), 5.61 (br, 2H), 3.69 (s, 3H), 2.11 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 161.8, 146.5, 141.3, 129.3, 128.7, 117.6, 114.7, 113.0, 103.6, 30.5, 10.8. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{11}BrN_2ONa$, 288.9947; found, 288.9949.



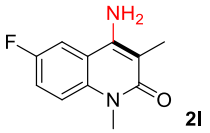
2j

4-Amino-1,3,6-trimethylquinolin-2(1*H*)-one (2j). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 51 mg (84%) of **2j**. White solid, mp 184–185 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.35 (s, 1H), 7.33 (d, *J* = 8.7 Hz, 1H), 7.22 (d, *J* = 8.5 Hz, 1H), 4.52 (br, 2H), 3.68 (s, 3H), 2.42 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.8, 145.6, 136.6, 130.9, 130.6, 121.0, 114.5, 114.4, 103.2, 29.5, 20.8, 10.4. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{12}H_{14}N_2ONa$, 225.0998; found, 225.0999.



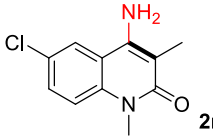
2k

4-Amino-6-methoxy-1,3-dimethylquinolin-2(1*H*)-one (2k). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/3) to afford 57 mg (87%) of **2k**. White solid, mp 202–203 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.29 (d, *J* = 9.1 Hz, 1H), 7.15 (dd, *J* = 9.1, 2.5 Hz, 1H), 6.99 (d, *J* = 2.5 Hz, 1H), 4.40 (br, 2H), 3.88 (s, 3H), 3.70 (s, 3H), 2.16 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.5, 154.2, 145.2, 133.2, 117.3, 115.8, 115.4, 104.4, 104.2, 55.8, 29.6, 10.6. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{12}H_{14}N_2O_2Na$, 241.0947; found, 241.0946.



2l

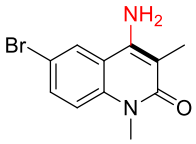
4-Amino-6-fluoro-1,3-dimethylquinolin-2(1*H*)-one (2l). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 41 mg (66%) of **2l**. White solid, mp 208–209 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.31 (dd, *J* = 9.1, 4.7 Hz, 1H), 7.28–7.23 (m, 2H), 4.39 (br, 2H), 3.71 (s, 3H), 2.16 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.7, 157.5 (d, *J* = 241.0 Hz), 144.9 (d, *J* = 2.9 Hz), 135.2, 117.3 (d, *J* = 23.4 Hz), 116.1 (d, *J* = 8.1 Hz), 115.6 (d, *J* = 7.4 Hz), 106.9 (d, *J* = 23.6 Hz), 104.8, 29.8, 10.6. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{11}FN_2ONa$, 229.0748; found, 229.0748.



2m

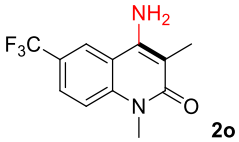
4-Amino-6-chloro-1,3-dimethylquinolin-2(1*H*)-one (2m). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 53 mg (81%) of **2m**. White solid, mp 190–192 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.54 (d, *J* = 2.2 Hz, 1H), 7.45 (dd, *J* = 9.0, 2.2 Hz, 1H), 7.26 (d, *J* = 9.0 Hz, 1H), 4.50 (br, 2H), 3.68 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.7, 144.8, 137.1, 129.6, 126.8, 120.9,

115.90, 115.87, 104.4, 29.7, 10.6. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{11}ClN_2ONa$, 245.0452; found, 245.0453.



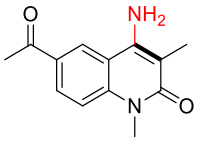
2n

4-Amino-6-bromo-1,3-dimethylquinolin-2(1*H*)-one (2n). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 67 mg (84%) of **2n**. White solid, mp 167–168 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.39–7.34 (m, 1H), 7.29 (dd, *J* = 8.6, 1.0 Hz, 1H), 7.20 (dd, *J* = 7.8, 1.2 Hz, 1H), 5.59 (br, 2H), 3.70 (s, 3H), 2.13 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.0, 146.8, 141.2, 129.8, 129.0, 124.8, 114.1, 112.2, 103.6, 30.6, 10.6. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{11}BrN_2ONa$, 288.9947; found, 288.9951.



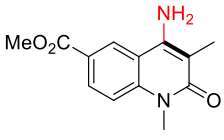
2o

4-Amino-1,3-dimethyl-6-(trifluoromethyl)quinolin-2(1*H*)-one (2o). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 3/2) to afford 48 mg (62%) of **2o**. White solid, mp 189–190 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.81 (s, 1H), 7.75 (dd, *J* = 8.8, 1.4 Hz, 1H), 7.43 (d, *J* = 8.9 Hz, 1H), 4.54 (br, 2H), 3.73 (s, 3H), 2.17 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.9, 145.3, 140.7, 126.2 (q, *J* = 3.4 Hz), 124.2 (q, *J* = 271.6 Hz), 123.2 (q, *J* = 33.1 Hz), 118.7 (q, *J* = 3.9 Hz), 114.9, 114.5, 104.7, 29.8, 10.5. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{12}H_{11}F_3N_2ONa$, 279.0716; found, 279.0719.



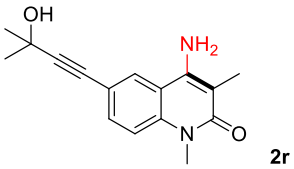
2p

6-Acetyl-4-amino-1,3-dimethylquinolin-2(1*H*)-one (2p). Purification was performed by column chromatography (dichloromethane/acetone= 2/1) to afford 43 mg (62%) of **2p**. White solid, mp 208–209 °C. ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.61 (d, *J* = 1.8 Hz, 1H), 8.04 (dd, *J* = 8.8, 1.8 Hz, 1H), 7.48 (d, *J* = 8.9 Hz, 1H), 6.46 (br, 2H), 3.58 (s, 3H), 2.65 (s, 3H), 2.00 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 196.9, 162.1, 147.6, 141.5, 129.5, 128.8, 124.4, 114.7, 114.0, 99.7, 29.3, 26.8, 11.0. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{13}H_{15}N_2O_2$, 231.1128; found, 231.1131.



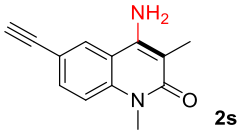
2q

Methyl 4-amino-1,3-dimethyl-2-oxo-1,2-dihydroquinoline-6-carboxylate (2q). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 67 mg (91%) of **2q**. White solid, mp 223–225 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.29 (d, *J* = 1.7 Hz, 1H), 8.16 (dd, *J* = 8.8, 1.8 Hz, 1H), 7.37 (d, *J* = 8.9 Hz, 1H), 4.58 (br, 2H), 3.96 (s, 3H), 3.73 (s, 3H), 2.15 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 166.5, 163.0, 145.7, 141.7, 130.5, 123.4, 122.7, 114.4, 114.3, 103.8, 52.3, 29.8, 10.5. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{13}H_{15}N_2O_3$, 247.1077; found, 247.1077.



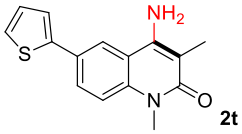
2r

4-Amino-6-(3-hydroxy-3-methylbut-1-yn-1-yl)-1,3-dimethylquinolin-2(1*H*)-one (2r). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/3) to afford 50 mg (62%) of **2r**. White solid, mp 248–249 °C. ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.11 (d, *J* = 1.7 Hz, 1H), 7.51 (dd, *J* = 8.7, 1.7 Hz, 1H), 7.38 (d, *J* = 8.8 Hz, 1H), 6.29 (s, 2H), 5.51 (s, 1H), 3.54 (s, 3H), 1.96 (s, 3H), 1.50 (s, 6H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 161.9, 146.9, 138.1, 132.4, 125.8, 114.9, 114.8, 114.6, 99.9, 95.2, 80.4, 63.7, 31.8, 29.1, 10.9. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{16}H_{19}N_2O_2$, 271.1441; found, 271.1445.



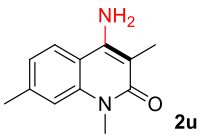
2s

4-Amino-6-ethynyl-1,3-dimethylquinolin-2(1*H*)-one (2s). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 36 mg (57%) of **2s**. White solid, mp 187–188 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.69 (d, *J* = 1.5 Hz, 1H), 7.62 (dd, *J* = 8.7, 1.6 Hz, 1H), 7.29 (d, *J* = 8.7 Hz, 1H), 4.45 (br, 2H), 3.70 (s, 3H), 3.10 (s, 1H), 2.14 (s, 3H).^{13}C NMR (151 MHz, $CDCl_3$) δ 162.8, 145.1, 138.7, 133.1, 125.2, 114.8, 114.7, 114.6, 104.1, 83.0, 77.0, 29.7, 10.5. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{13}H_{12}N_2ONa$, 235.0842, found, 235.0846.



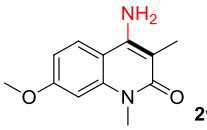
2t

4-Amino-1,3-dimethyl-6-(thiophen-2-yl)quinolin-2(1*H*)-one (2t). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 62 mg (76%) of **2t**. White solid, mp 233–234 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.76 (dd, *J* = 8.7, 2.0 Hz, 1H), 7.71 (d, *J* = 1.9 Hz, 1H), 7.34 (d, *J* = 8.8 Hz, 1H), 7.32 (dd, *J* = 3.5, 1.0 Hz, 1H), 7.29 (dd, *J* = 5.1, 1.0 Hz, 1H), 7.10 (dd, *J* = 5.1, 3.6 Hz, 1H), 4.51 (br, 2H), 3.72 (s, 3H), 2.16 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.8, 145.6, 143.4, 138.0, 128.2, 127.8, 124.7, 123.0, 118.3, 115.1, 115.0, 104.1, 29.6, 10.5. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{15}H_{14}N_2OSNa$, 293.0719; found, 293.0724.



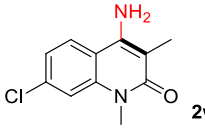
2u

4-Amino-1,3,7-trimethylquinolin-2(1*H*)-one (2u). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 52 mg (86%) of **2u**. White solid, mp 220–221 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.43 (d, *J* = 8.2 Hz, 1H), 7.15 (s, 1H), 7.04 (dd, *J* = 8.1, 0.7 Hz, 1H), 4.44 (br, 2H), 3.70 (s, 3H), 2.49 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.1, 145.8, 140.2, 138.7, 122.5, 120.9, 114.8, 112.4, 102.5, 29.5, 21.9, 10.4. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{12}H_{14}N_2ONa$, 225.0998; found, 225.0999.



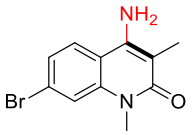
2v

4-Amino-7-methoxy-1,3-dimethylquinolin-2(1*H*)-one (2v). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 2/3) to afford 49 mg (75%) of **2v**. White solid, mp 170–172 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.47 (d, *J* = 8.8 Hz, 1H), 6.81 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.77 (d, *J* = 2.3 Hz, 1H), 4.43 (br, 2H), 3.91 (s, 3H), 3.68 (s, 3H), 2.12 (s, 3H).^{13}C NMR (151 MHz, $CDCl_3$) δ 163.4, 160.9, 145.9, 140.3, 122.5, 108.7, 108.6, 101.0, 98.7, 55.5, 29.6, 10.2. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{12}H_{14}N_2O_2Na$, 241.0947; found, 241.0948.



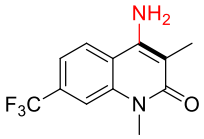
2w

4-Amino-7-chloro-1,3-dimethylquinolin-2(1*H*)-one (2w). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/2) to afford 47 mg (70%) of **2w**. White solid, mp 200–201 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.47 (d, *J* = 8.6 Hz, 1H), 7.34 (d, *J* = 1.9 Hz, 1H), 7.19 (dd, *J* = 8.6, 1.9 Hz, 1H), 4.43 (br, 2H), 3.68 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.9, 145.3, 139.5, 135.7, 122.3, 121.4, 114.5, 113.2, 103.7, 29.7, 10.4. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{11}ClN_2ONa$, 245.0452; found, 245.0452.



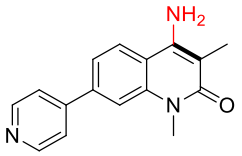
2x

4-Amino-7-bromo-1,3-dimethylquinolin-2(1*H*)-one (2x). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 56 mg (70%) of **2x**. White solid, mp 226–228 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.50 (d, *J* = 1.7 Hz, 1H), 7.40 (d, *J* = 8.6 Hz, 1H), 7.33 (dd, *J* = 8.6, 1.8 Hz, 1H), 4.43 (br, 2H), 3.68 (s, 3H), 2.13 (s, 3H).^{13}C NMR (151 MHz, $CDCl_3$) δ 162.8, 145.3, 139.6, 124.2, 123.9, 122.4, 117.4, 113.6, 103.9, 29.7, 10.5. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{11}BrN_2ONa$, 288.9947; found, 288.9951.



2y

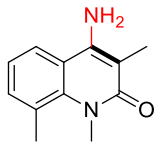
4-Amino-1,3-dimethyl-7-(trifluoromethyl)quinolin-2(1*H*)-one (2y). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 59 mg (77%) of **2y**. White solid, mp 198–200 °C. ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.22 (d, *J* = 8.4 Hz, 1H), 7.63 (s, 1H), 7.50 (d, *J* = 8.3 Hz, 1H), 6.35 (s, 2H), 3.60 (s, 3H), 1.99 (s, 3H). ^{13}C NMR (151 MHz, DMSO-d_6) δ 161.9, 146.6, 138.4, 129.4 (q, *J* = 31.8 Hz), 124.2 (q, *J* = 272.5 Hz), 124.1, 117.4, 116.6 (q, *J* = 3.5 Hz), 111.3 (q, *J* = 3.8 Hz), 101.5, 29.1, 11.1. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{12}H_{12}F_3N_2O$, 257.0896; found, 257.0896.



2z

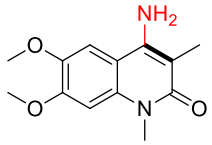
4-Amino-1,3-dimethyl-7-(pyridin-4-yl)quinolin-2(1*H*)-one (2z). Purification was performed by column

chromatography (petroleum ether/acetone = 2/3) to afford 60 mg (78%) of **2z**. White solid, mp 260–261 °C. ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.67 (d, *J* = 5.8 Hz, 2H), 8.16 (d, *J* = 8.4 Hz, 1H), 7.87 (d, *J* = 6.0 Hz, 2H), 7.71 (s, 1H), 7.62 (dd, *J* = 8.3, 1.1 Hz, 1H), 6.28 (s, 2H), 3.67 (s, 3H), 2.00 (s, 3H).^{13}C NMR (151 MHz, DMSO-d_6) δ 162.1, 150.3, 147.0, 146.6, 138.9, 138.1, 123.7, 121.7, 119.1, 115.1, 112.7, 100.2, 29.1, 11.1. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{16}H_{16}N_3O$, 266.1288; found, 266.1278.



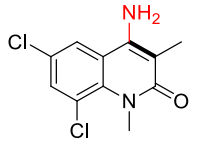
2aa

4-Amino-1,3,8-trimethylquinolin-2(1*H*)-one (2aa). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 51 mg (84%) of **2aa**. White solid, mp 208–209 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.39 (d, *J* = 8.0 Hz, 1H), 7.31 (d, *J* = 7.3 Hz, 1H), 7.12 (t, *J* = 7.7 Hz, 1H), 4.45 (br, 2H), 3.75 (s, 3H), 2.66 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 165.4, 146.1, 140.5, 134.1, 125.6, 121.6, 118.8, 116.6, 103.1, 37.4, 23.8, 10.3. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{12}H_{14}N_2ONa$, 225.0998; found, 225.0999.



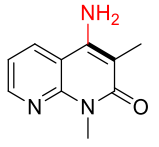
2bb

4-Amino-6,7-dimethoxy-1,3-dimethylquinolin-2(1*H*)-one (2bb). Purification was performed by column chromatography (petroleum ether/acetone = 1/1) to afford 58 mg (78%) of **2bb**. White solid, mp 251–252 °C. ^{1}H NMR (600 MHz, DMSO-d_6) δ 7.48 (s, 1H), 6.85 (s, 1H), 6.09 (br, 2H), 3.89 (s, 3H), 3.83 (s, 3H), 3.56 (s, 3H), 1.95 (s, 3H).^{13}C NMR (151 MHz, DMSO-d_6) δ 162.3, 151.1, 147.8, 144.3, 134.3, 107.5, 105.7, 98.3, 97.9, 56.6, 56.1, 29.6, 11.3. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{13}H_{17}N_2O_3$, 249.1234; found, 249.1223.



2cc

4-Amino-6,8-dichloro-1,3-dimethylquinolin-2(1*H*)-one (2cc). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 57 mg (74%) of **2cc**. White solid, mp 202–203 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.53 (d, *J* = 2.2 Hz, 1H), 7.41 (d, *J* = 2.2 Hz, 1H), 4.35 (br, 2H), 3.88 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 164.5, 144.4, 136.3, 132.3, 126.9, 121.9, 119.7, 119.1, 105.4, 37.4, 10.6. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{10}Cl_2N_2ONa$, 279.0062; found, 279.0064.

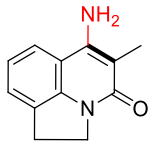


2dd

4-Amino-1,3-dimethyl-1,8-naphthyridin-2(1*H*)-one (2dd). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/3) to afford 53 mg (93%) of **2dd**. White solid, mp 207–208 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.59 (dd, *J* = 4.6, 1.6 Hz, 1H), 7.85 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.16 (dd, *J* = 7.9, 4.6 Hz, 1H), 4.43 (br, 2H), 3.82 (s, 3H), 2.16 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.8, 149.1, 148.8, 144.3, 129.2, 116.9, 110.2, 104.6, 28.5, 10.3. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{10}H_{11}N_3ONa$, 212.0794; found, 212.0795.

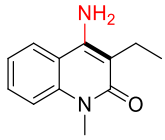
2ee

4-Amino-5,7-dimethylthieno[2,3-*b*]pyridin-6(7*H*)-one (2ee). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/4) to afford 53 mg (91%) of **2ee**. White solid, mp 200–201 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.09 (d, *J* = 5.7 Hz, 1H), 6.92 (d, *J* = 5.7 Hz, 1H), 4.43 (br, 2H), 3.64 (s, 3H), 2.07 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.0, 146.6, 146.3, 119.6, 115.0, 113.1, 99.3, 34.2, 9.9. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_9H_{10}N_2OSNa$, 217.0406; found, 217.0407.



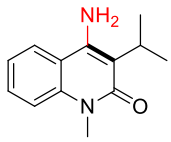
2ff

6-Amino-5-methyl-1,2-dihydro-4*H*-pyrrolo[3,2,1-*ij*]quinolin-4-one (2ff). Purification was performed by column chromatography (ethyl acetate/acetone = 20/1) to afford 44 mg (73%) of **2ff**. White solid, mp 233–234 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.32–7.27 (m, 2H), 7.15–7.10 (m, 1H), 4.50–4.31 (m, 4H), 3.39 (t, *J* = 8.1 Hz, 2H), 2.14 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 161.8, 145.9, 140.9, 131.1, 124.3, 122.2, 117.3, 111.7, 104.4, 46.7, 27.3, 9.8. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{12}H_{13}N_2O$, 201.1022; found, 201.1015.



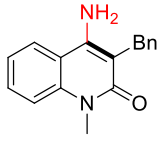
2gg

4-Amino-3-ethyl-1-methylquinolin-2(1*H*)-one (2gg). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 48 mg (79%) of **2gg**. White solid, mp 192–194 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.57 (d, *J* = 8.0 Hz, 1H), 7.53 (t, *J* = 7.8 Hz, 1H), 7.35 (d, *J* = 8.5 Hz, 1H), 7.22 (t, *J* = 7.6 Hz, 1H), 4.52 (br, 2H), 3.71 (s, 3H), 2.68 (q, *J* = 7.5 Hz, 2H), 1.16 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.6, 145.1, 138.7, 129.8, 121.2, 114.9, 114.5, 109.8, 29.4, 18.5, 11.9. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{12}H_{14}N_2ONa$, 225.0998; found, 225.0997.



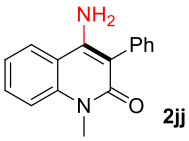
2hh

4-Amino-3-isopropyl-1-methylquinolin-2(1*H*)-one (2hh). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 3/1) to afford 32 mg (51%) of **2hh**. White solid, mp 185–186 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.56 (dd, *J* = 8.1, 1.1 Hz, 1H), 7.54–7.49 (m, 1H), 7.32 (d, *J* = 8.1 Hz, 1H), 7.23–7.18 (m, 1H), 4.57 (br, 2H), 3.68 (s, 3H), 3.44 (d, *J* = 9.8 Hz, 1H), 1.40 (d, *J* = 7.2 Hz, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.4, 145.1, 138.8, 129.7, 121.13, 121.11, 115.2, 114.4, 112.7, 29.2, 26.0, 19.7. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{13}H_{17}N_2O$, 217.1335; found, 217.1332.



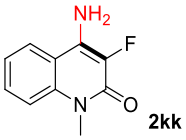
2ii

4-Amino-3-benzyl-1-methylquinolin-2(1*H*)-one (2ii). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 2/1) to afford 55 mg (69%) of **2ii**. White solid, mp 128–129 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.56–7.50 (m, 2H), 7.35 (d, *J* = 8.4 Hz, 1H), 7.29–7.23 (m, 4H), 7.20–7.15 (m, 2H), 4.53 (br, 2H), 4.08 (s, 2H), 3.73 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.1, 146.7, 139.4, 139.1, 130.2, 128.6, 128.0, 126.1, 121.2, 114.7, 114.6, 106.5, 31.0, 29.7. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{17}H_{17}N_2O$, 265.1335; found, 265.1333.



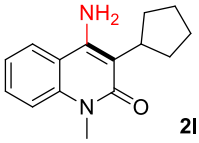
2jj

4-Amino-1-methyl-3-phenylquinolin-2(1*H*)-one (2jj). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 54 mg (72%) of **2jj**. White solid, mp 210–211 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.65 (dd, *J* = 8.0, 1.0 Hz, 1H), 7.61–7.55 (m, 1H), 7.43 (t, *J* = 7.6 Hz, 2H), 7.40–7.34 (m, 3H), 7.30 (dd, *J* = 10.5, 4.3 Hz, 1H), 7.25–7.19 (m, 1H), 4.57 (br, 2H), 3.72 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.1, 146.6, 139.5, 134.7, 130.7, 130.6, 128.9, 127.5, 122.2, 121.2, 114.7, 114.6, 108.9, 29.3. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{16}H_{15}N_2O$, 251.1179; found, 251.1175.



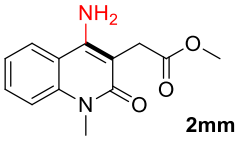
2kk

4-Amino-3-fluoro-1-methylquinolin-2(1*H*)-one (2kk). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 36 mg (62%) of **2kk**. White solid, mp 195–196 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.59 (dd, *J* = 17.2, 7.8 Hz, 2H), 7.38 (d, *J* = 8.5 Hz, 1H), 7.31 (t, *J* = 7.6 Hz, 1H), 4.58 (br, 2H), 3.73 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.2(d, *J* = 22.6 Hz), 136.6 (d, *J* = 47.5 Hz), 134.9, 134.5 (d, *J* = 9.9 Hz), 130.0 (d, *J* = 2.1 Hz), 122.1, 121.6 (d, *J* = 6.7 Hz), 114.9 (d, *J* = 1.4 Hz), 114.1 (d, *J* = 4.0 Hz), 29.1. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{10}H_9FN_2ONa$, 215.0591; found, 215.0590.



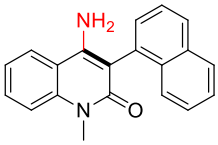
2ll

4-Amino-3-cyclopentyl-1-methylquinolin-2(1*H*)-one (2ll). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 3/1) to afford 38 mg (52%) of **2ll**. White solid, mp 222–223 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.55 (d, *J* = 8.1 Hz, 1H), 7.54–7.48 (m, 1H), 7.33 (d, *J* = 8.5 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 4.53 (br, 2H), 3.69 (s, 3H), 3.54–3.43 (m, 1H), 2.04 (d, *J* = 9.1 Hz, 2H), 1.92 (d, *J* = 5.7 Hz, 2H), 1.88–1.81 (m, 2H), 1.71 (dd, *J* = 6.6, 4.0 Hz, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.4, 145.2, 138.8, 129.7, 121.12, 121.09, 115.1, 114.4, 110.6, 36.3, 29.3, 29.0, 27.2. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{15}H_{19}N_2O$, 243.1492; found, 243.1490.



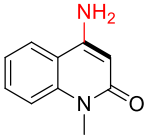
2mm

Methyl 2-(4-amino-1-methyl-2-oxo-1,2-dihydroquinolin-3-yl)acetate (2mm). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 5/1) to afford 53 mg (72%) of **2mm**. White solid, mp 238–239 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.57 (t, *J* = 7.3 Hz, 2H), 7.36 (d, *J* = 8.8 Hz, 1H), 7.24 (d, *J* = 7.6 Hz, 1H), 5.00 (br, 2H), 3.81 (s, 2H), 3.71 (d, *J* = 5.5 Hz, 6H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 172.8, 162.3, 147.9, 139.3, 130.7, 121.4, 121.3, 114.8, 114.5, 100.6, 52.3, 31.5, 29.7. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{13}H_{14}N_2O_3Na$, 269.0897; found, 269.0895.



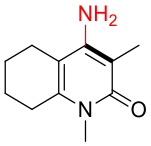
2nn

4-Amino-1-methyl-3-(naphthalen-1-yl)quinolin-2(1*H*)-one (2nn). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 48 mg (53%) of **2nn**. White solid, mp 250–251 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.85 (t, *J* = 9.4 Hz, 2H), 7.67 (d, *J* = 8.4 Hz, 1H), 7.66–7.61 (m, 2H), 7.53 (t, *J* = 7.6 Hz, 1H), 7.45 (dd, *J* = 12.6, 5.8 Hz, 3H), 7.37 (dd, *J* = 8.1, 7.0 Hz, 1H), 7.31–7.21 (m, 1H)., 4.32 (br, 2H), 3.76 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.1, 147.3, 139.9, 134.2, 132.1, 132.0, 130.8, 128.9, 128.5, 128.4, 126.3, 126.1, 125.9, 125.2, 122.1, 121.3, 114.8, 114.5, 107.1, 29.4. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{20}H_{17}N_2O$, 301.1335; found, 301.1336.



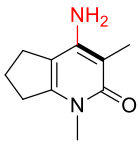
2oo

4-Amino-1-methylquinolin-2(1*H*)-one (2oo). Purification was performed by column chromatography (petroleum ether/ethyl acetate = 1/1) to afford 35 mg (67%) of **2oo**. White solid, mp 189–190 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.58 (dd, *J* = 16.4, 7.8 Hz, 2H), 7.37 (d, *J* = 8.5 Hz, 1H), 7.23 (t, *J* = 7.5 Hz, 1H), 5.93 (s, 1H), 4.60 (br, 2H), 3.67 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.3, 150.2, 140.3, 130.9, 121.6, 121.2, 114.9, 114.8, 97.4, 28.9. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{10}H_{11}N_2O$, 175.0866; found, 175.0862.



2pp

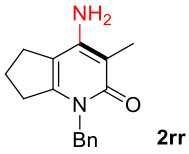
4-Amino-1,3-dimethyl-5,6,7,8-tetrahydroquinolin-2(1*H*)-one (2pp). Purification was performed by column chromatography (ethyl acetate/methanol = 30/1) to afford 46 mg (80%) of **2pp**. White solid, mp 194–195 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 4.04 (s, 2H), 3.47 (s, 3H), 2.57 (t, *J* = 6.0 Hz, 2H), 2.33 (t, *J* = 6.2 Hz, 2H), 2.01 (s, 3H), 1.85–1.79 (m, 2H), 1.79–1.74 (m, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.8, 150.0, 140.5, 104.7, 100.1, 29.9, 27.4, 22.6, 22.4, 21.5, 9.8. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{11}H_{16}N_2ONa$, 215.1155; found, 215.1152.



2qq

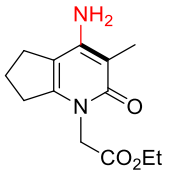
4-Amino-1,3-dimethyl-1,5,6,7-tetrahydro-2*H*-cyclopenta[*b*]pyridin-2-one (2qq). Purification was performed by column chromatography (ethyl acetate/methanol = 30/1) to afford 41 mg (77%) of **2qq**. White solid, mp 193–194

°C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 4.02 (br, 2H), 3.43 (s, 3H), 2.88 (t, *J* = 7.5 Hz, 2H), 2.68 (t, *J* = 7.4 Hz, 2H), 2.19–2.09 (m, 2H), 1.98 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.9, 148.9, 146.3, 108.8, 99.7, 32.4, 32.1, 27.8, 21.3, 9.7. HRMS (ESI): m/z: $[M + H]^+$ calc. for $C_{10}H_{15}N_2O$, 179.1179; found, 179.1181.



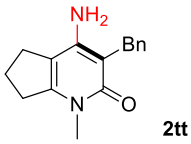
2rr

4-Amino-1-benzyl-3-methyl-1,5,6,7-tetrahydro-2*H*-cyclopenta[*b*]pyridin-2-one (2rr). Purification was performed by column chromatography (ethyl acetate) to afford 46 mg (60%) of **2rr**. White solid, mp 195–197 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.30–7.27 (m, 2H), 7.23–7.18 (m, 3H), 5.19 (s, 2H), 4.02 (s, 2H), 2.79 (t, *J* = 7.4 Hz, 2H), 2.64 (t, *J* = 7.3 Hz, 2H), 2.05 (dd, *J* = 15.0, 7.6 Hz, 2H), 2.02 (s, 3H).^{13}C NMR (151 MHz, $CDCl_3$) δ 163.9, 149.1, 146.4, 137.7, 128.5, 127.0, 126.9, 109.2, 99.9, 48.3, 32.0, 27.7, 21.4, 9.9. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{16}H_{18}N_2ONa$, 277.1311; found, 277.1312.



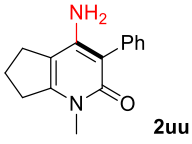
2ss

Ethyl 2-(4-amino-3-methyl-2-oxo-2,5,6,7-tetrahydro-1*H*-cyclopenta[*b*]pyridin-1-yl)acetate (2ss). Purification was performed by column chromatography (ethyl acetate) to afford 38 mg (51%) of **2ss**. White solid, mp 209–210 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 4.64 (s, 2H), 4.22 (q, *J* = 7.1 Hz, 2H), 4.07 (d, *J* = 15.0 Hz, 2H), 2.81 (t, *J* = 7.4 Hz, 2H), 2.71–2.65 (m, 2H), 2.14 (dt, *J* = 14.9, 7.5 Hz, 2H), 1.96 (s, 3H), 1.31–1.26 (m, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 168.8, 163.5, 149.6, 145.7, 109.4, 99.3, 61.5, 46.6, 31.8, 27.8, 21.2, 14.1, 9.6. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{13}H_{18}N_2O_3Na$, 273.1210; found, 273.1209.



2tt

4-Amino-3-benzyl-1-methyl-1,5,6,7-tetrahydro-2*H*-cyclopenta[*b*]pyridin-2-one (2tt). Purification was performed by column chromatography (ethyl acetate) to afford 46 mg (60%) of **2tt**. White solid, mp 180–181 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.28–7.22 (m, 4H), 7.15 (t, *J* = 7.1 Hz, 1H), 3.93 (d, *J* = 9.5 Hz, 4H), 3.47 (s, 3H), 2.93–2.84 (m, 2H), 2.67–2.58 (m, 2H), 2.16–2.07 (m, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 164.2, 149.5, 147.4, 140.4, 128.5, 128.1, 125.9, 108.9, 103.5, 32.4, 32.3, 30.7, 27.7, 21.2. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{16}H_{18}N_2ONa$, 277.1311; found, 277.1311.



2uu

4-Amino-1-methyl-3-phenyl-1,5,6,7-tetrahydro-2*H*-cyclopenta[*b*]pyridin-2-one (2uu). Purification was performed by column chromatography (ethyl acetate/methanol = 30/1) to afford 40 mg (56%) of **2uu**. White solid, mp 198–199 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.40 (dd, *J* = 10.8, 4.5 Hz, 2H), 7.37–7.32 (m, 2H), 7.28–7.24 (m,

2H), 4.06 (s, 2H), 3.44 (s, 3H), 2.97–2.88 (m, 2H), 2.74–2.65 (m, 2H), 2.17 (dt, J = 15.0, 7.5 Hz, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.0, 148.8, 148.5, 135.1, 130.7, 128.8, 126.9, 108.7, 105.9, 32.4, 32.2, 27.9, 21.3. HRMS (ESI): m/z: $[M + Na]^+$ calc. for $C_{15}H_{16}N_2ONa$, 263.1155; found, 263.1157.

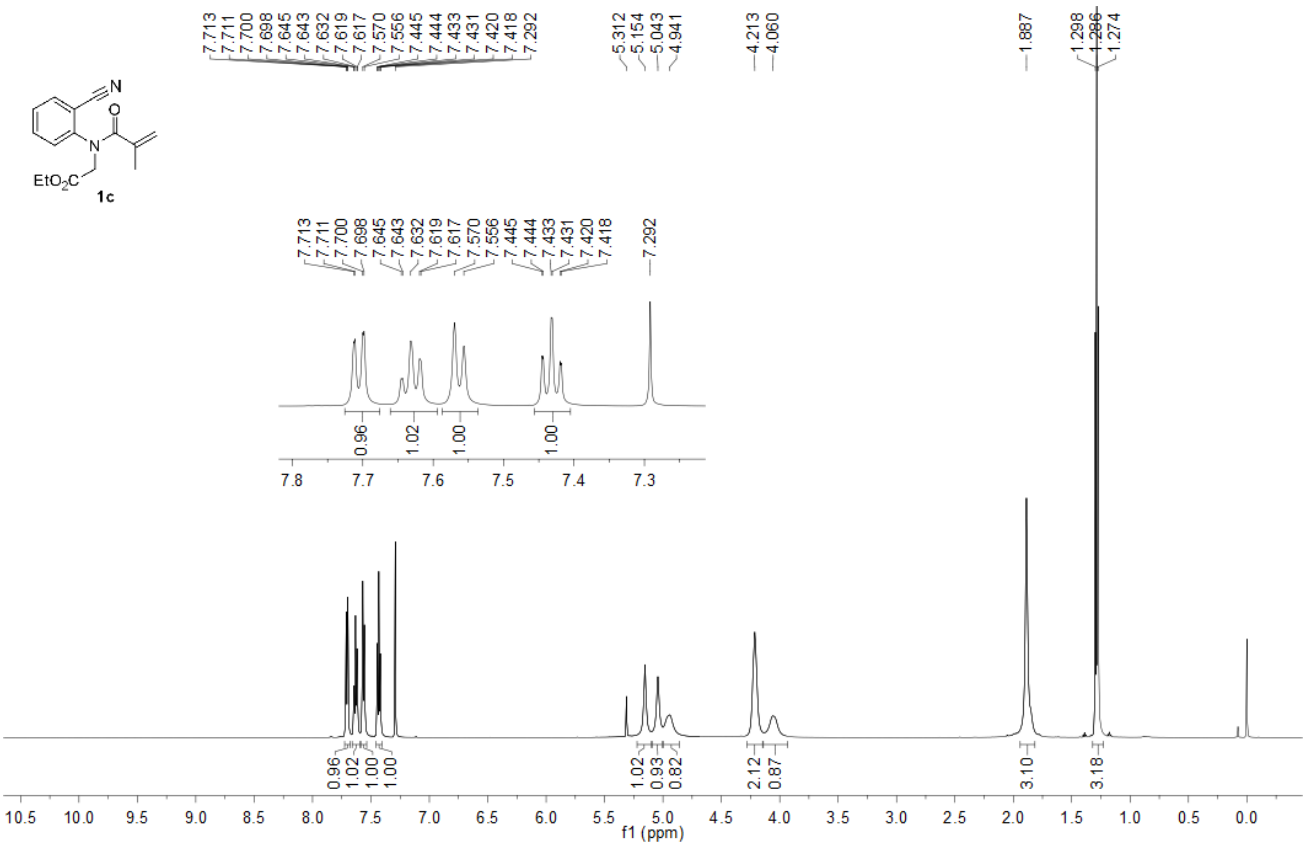
References

(S1) (a) Li, Y.-M.; Wang, S.-S.; Yu, F.-C.; Shen, Y.; Chang, K.-J. *Org. Biomol. Chem.* **2015**, *13*, 5376–5380. (b) Yang, T.; Zhou, J.-L.; Li, J.; Shen, Y.; Gao, C.; Li, Y.-M. *Synthesis* **2018**, *50*, 3460–3466.

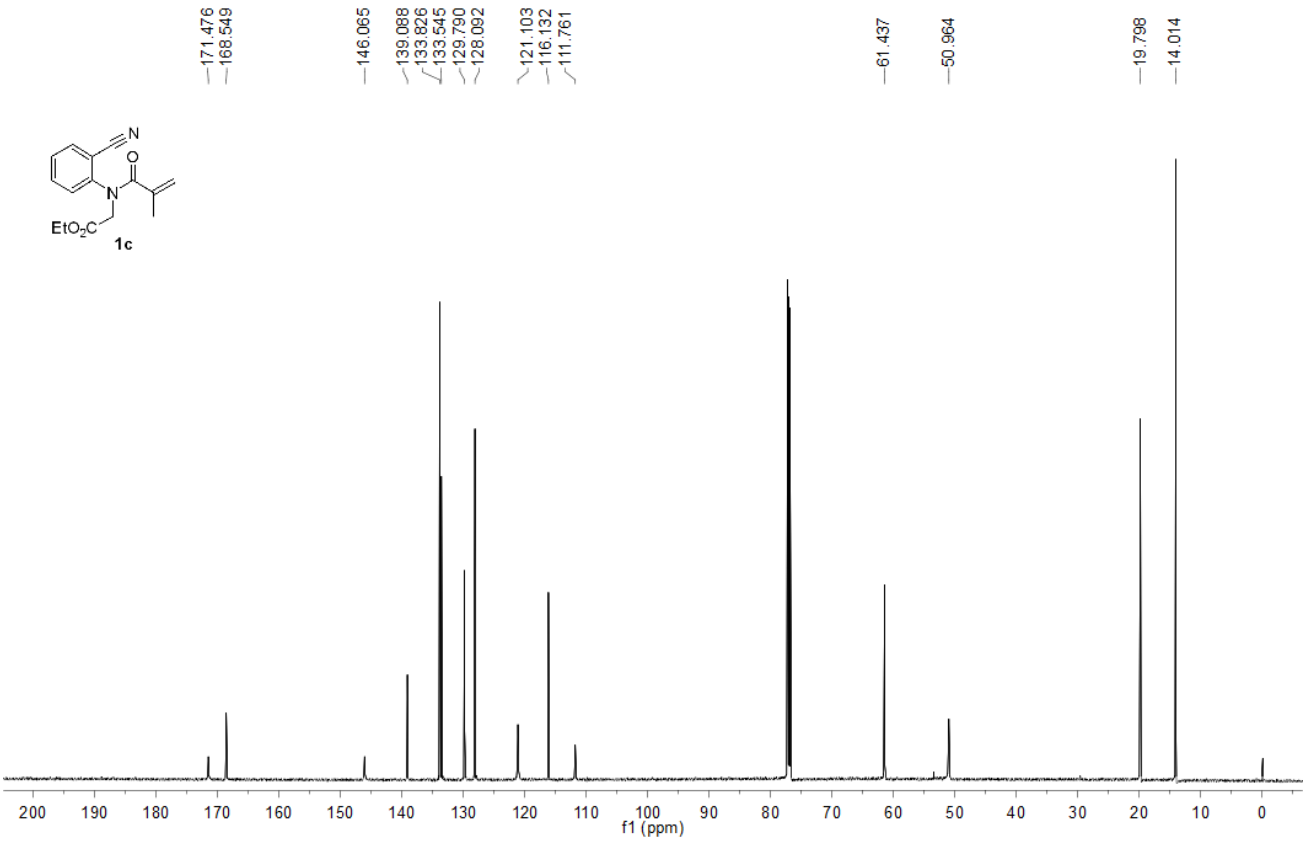
(S2) Costello, J. P.; Ferreira, E. M. *Org. Lett.* **2019**, *21*, 9934–9939.

8. Charts of compounds

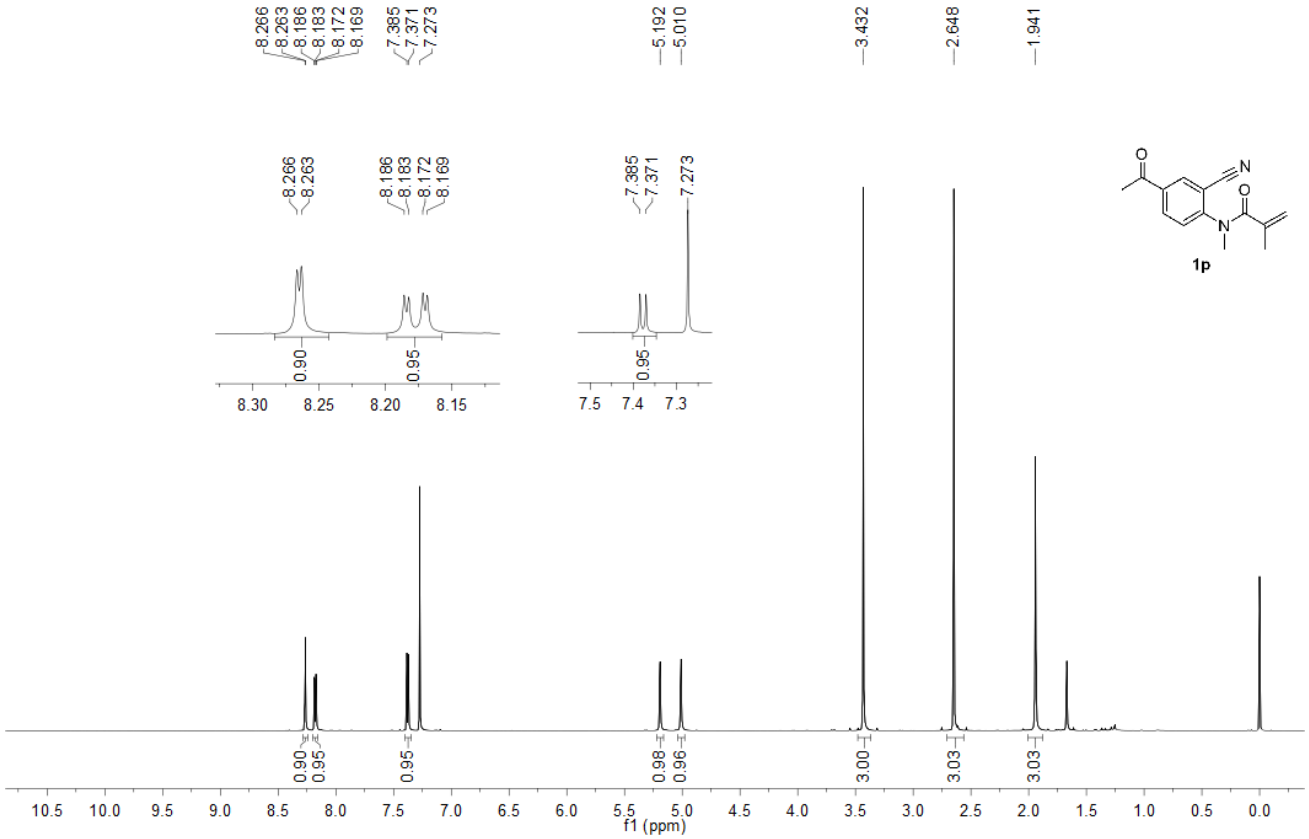
^{1}H NMR, 600 MHz, $CDCl_3$



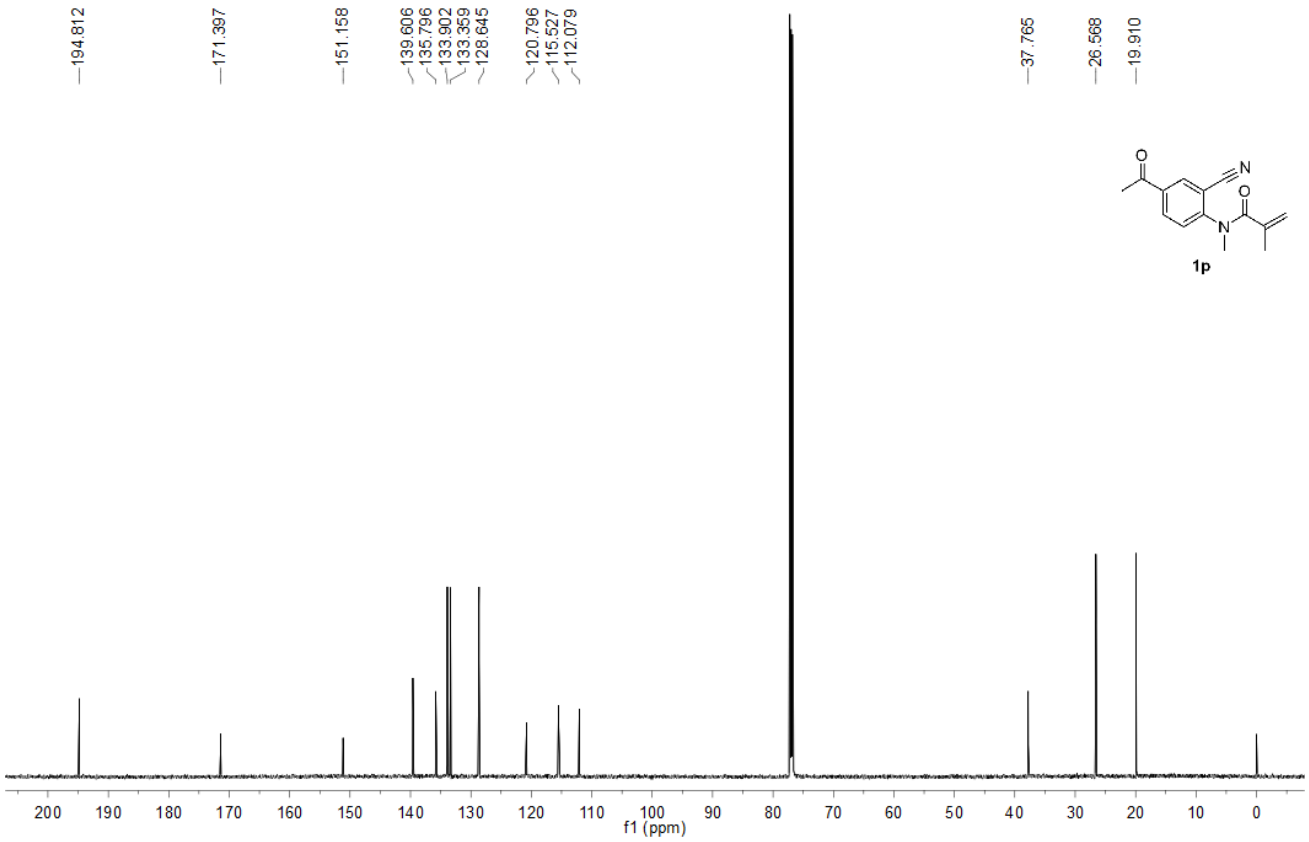
^{13}C NMR, 151 MHz, $CDCl_3$



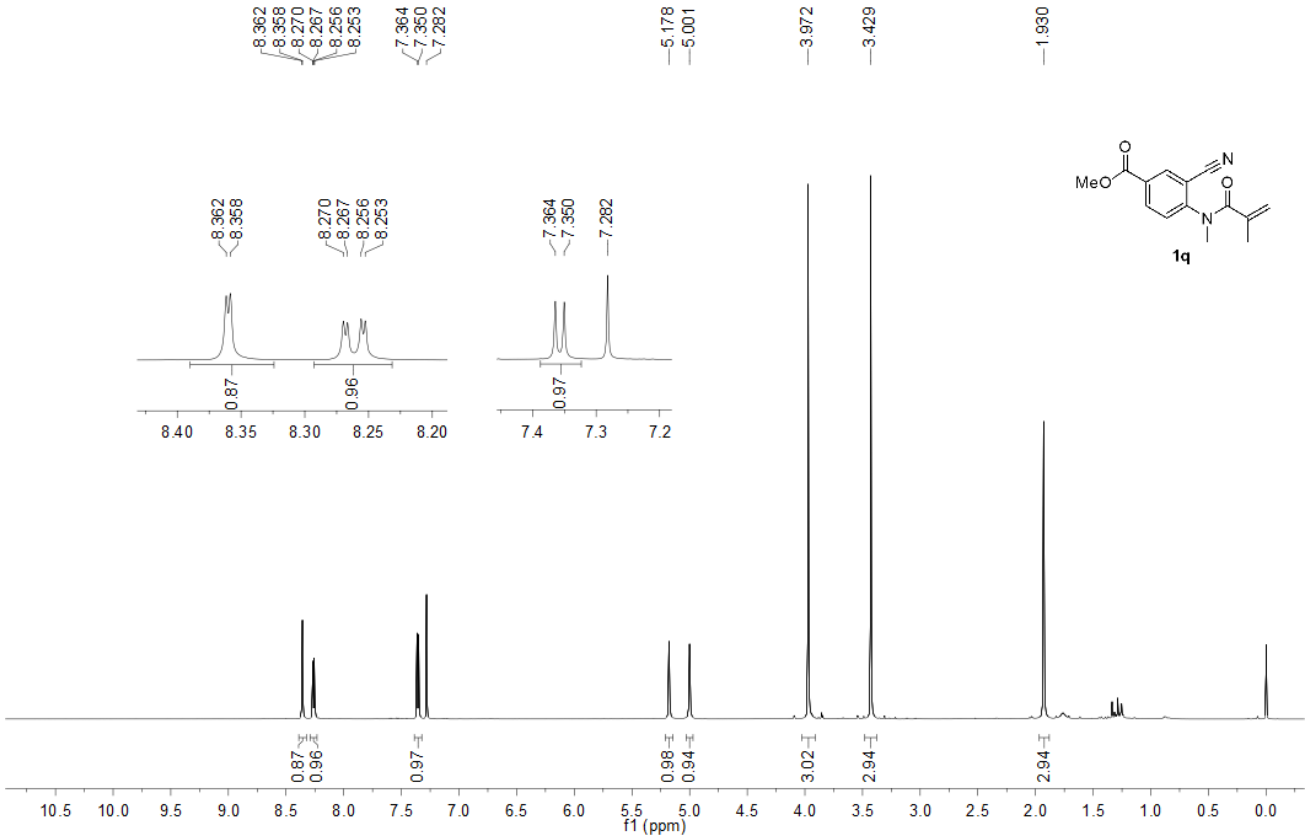
^{1}H NMR, 600 MHz, $CDCl_3$



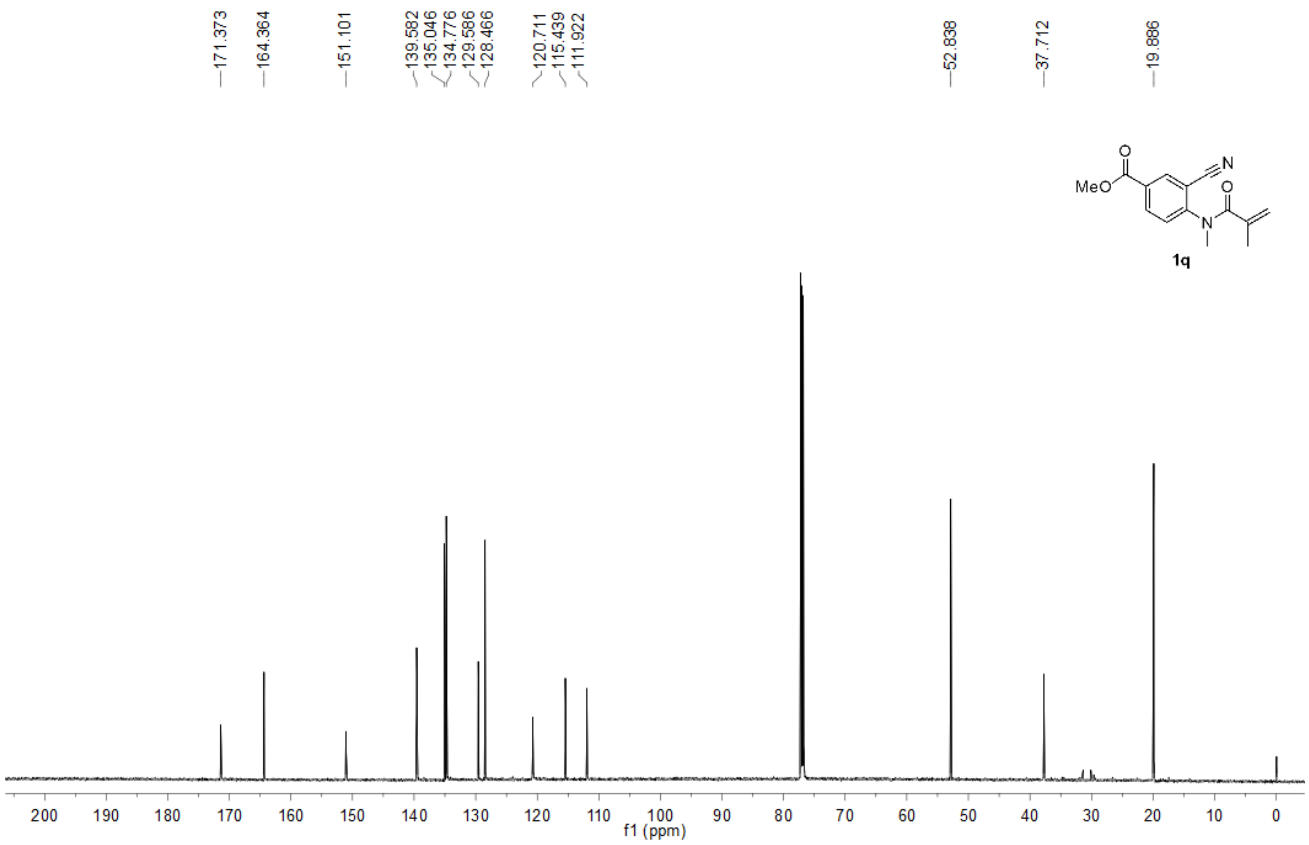
^{13}C NMR, 151 MHz, $CDCl_3$



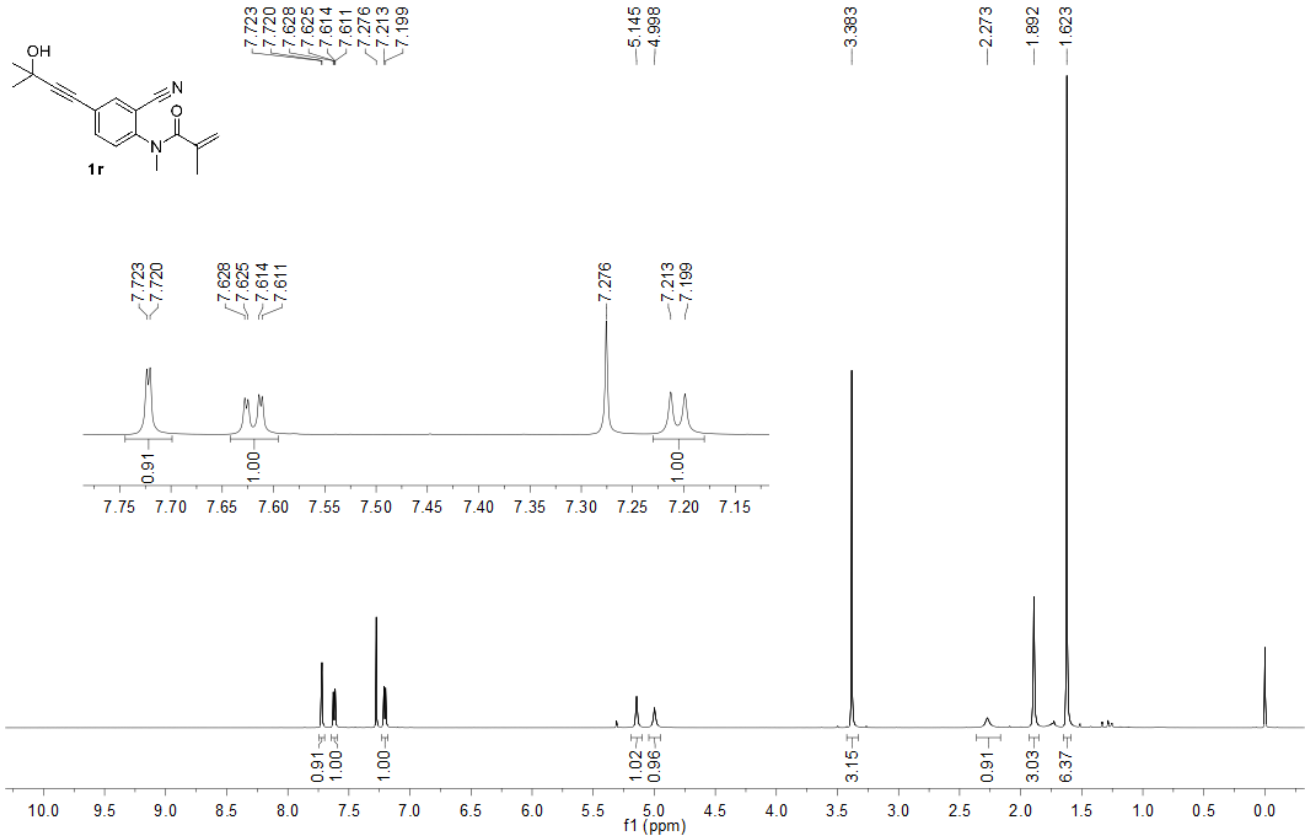
^{1}H NMR, 600 MHz, $CDCl_3$



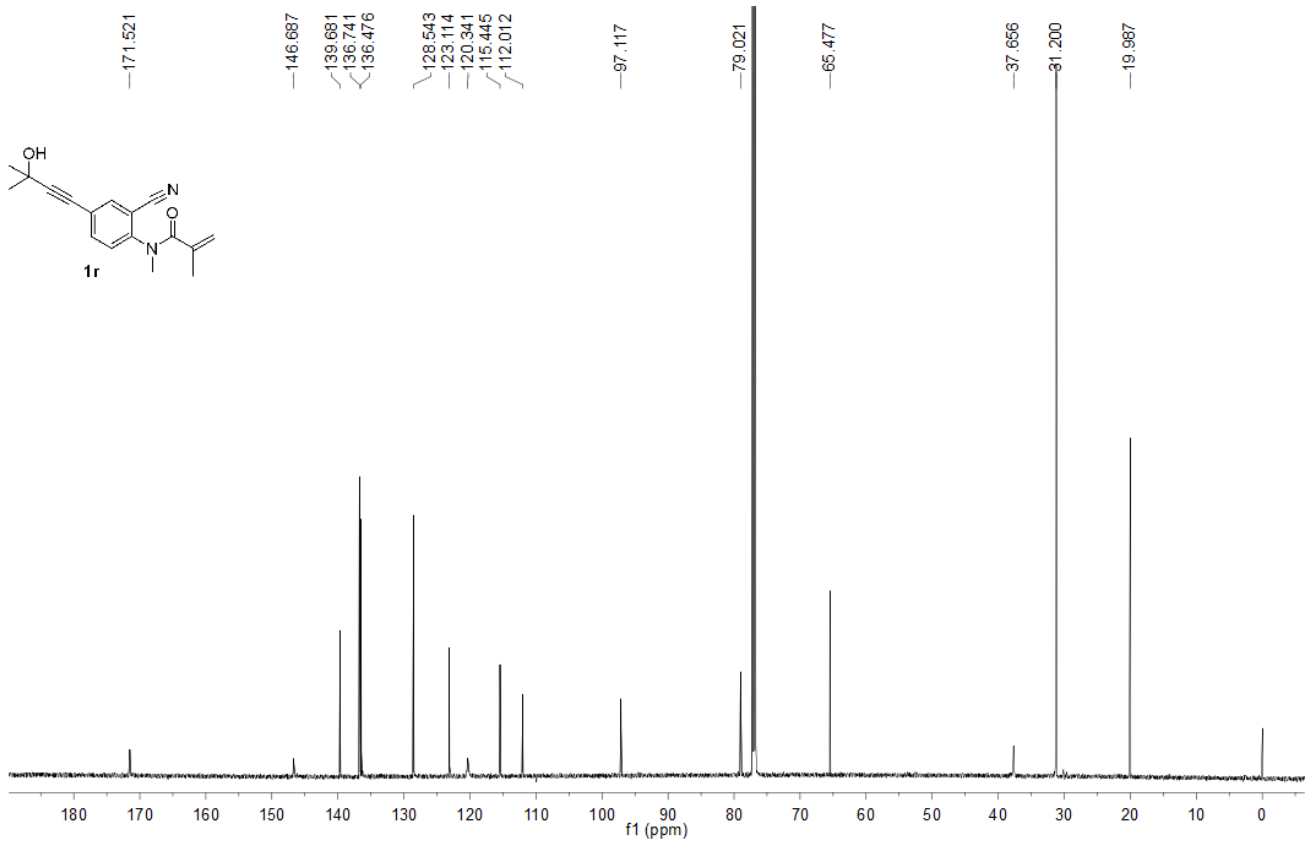
^{13}C NMR, 151 MHz, $CDCl_3$



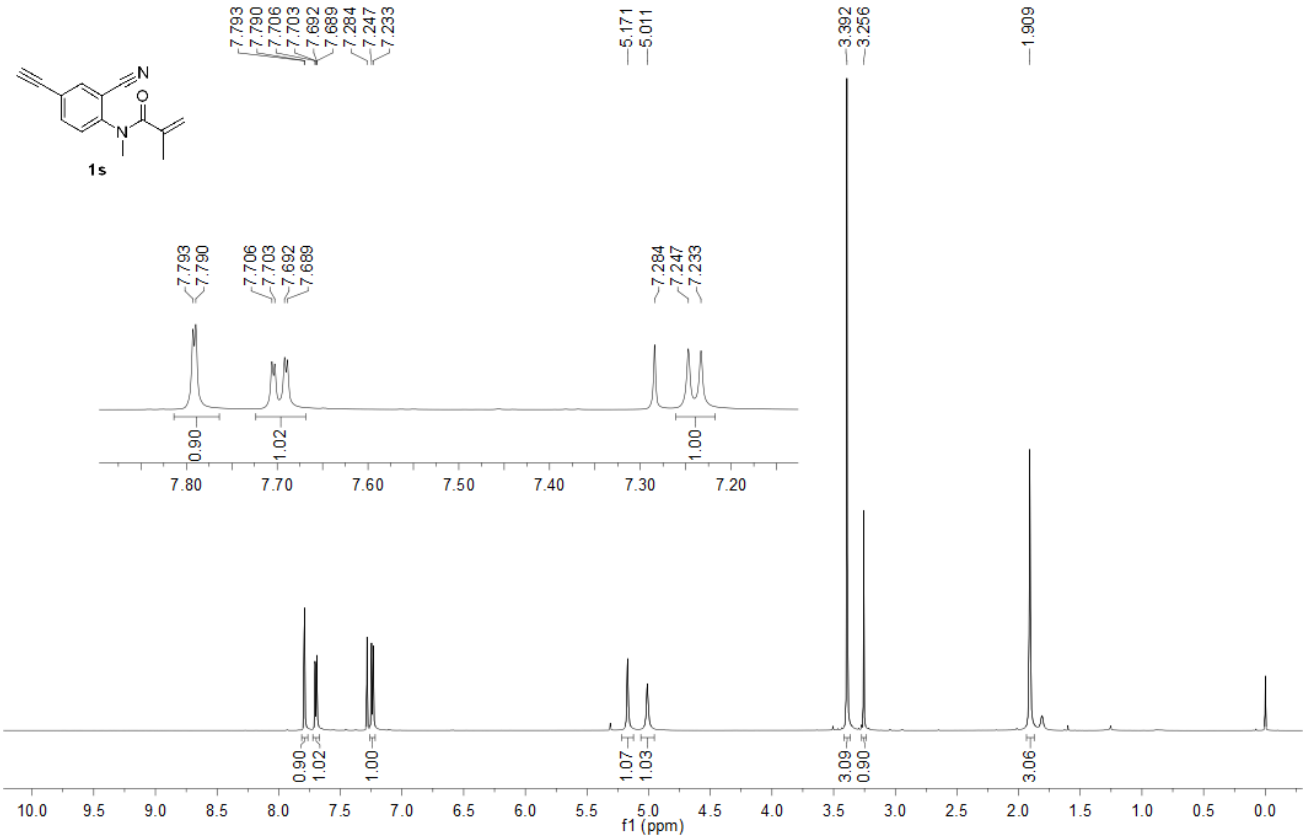
^{1}H NMR, 600 MHz, $CDCl_3$



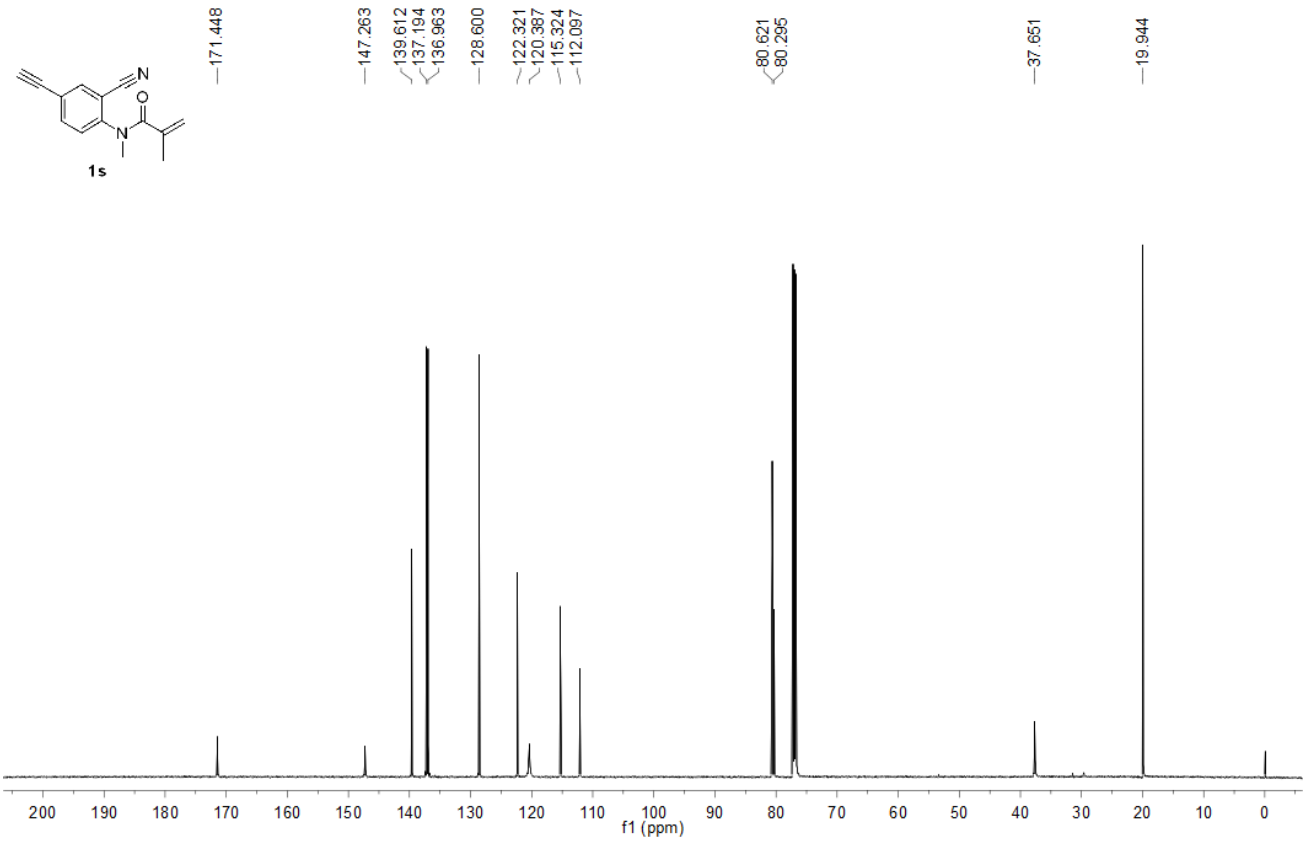
^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



^{13}C NMR, 151 MHz, $CDCl_3$



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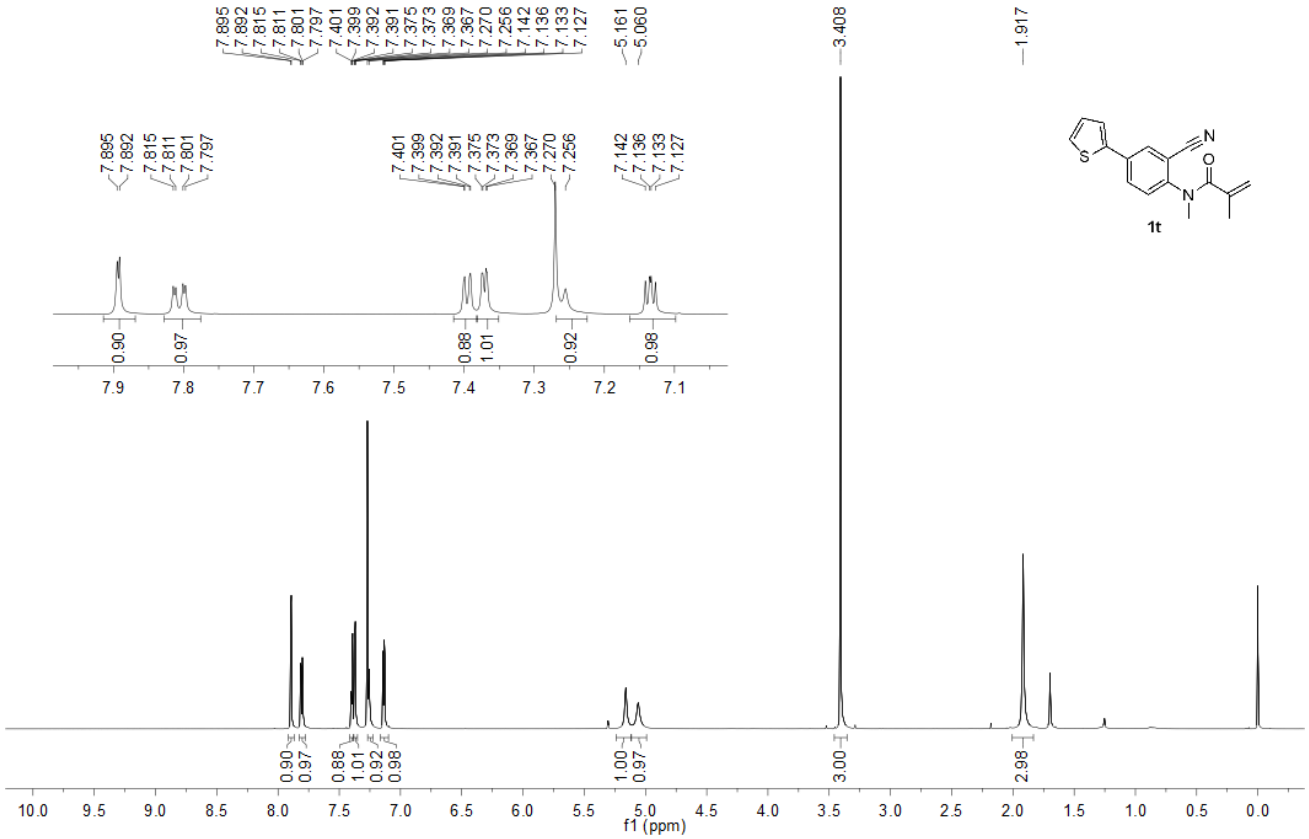
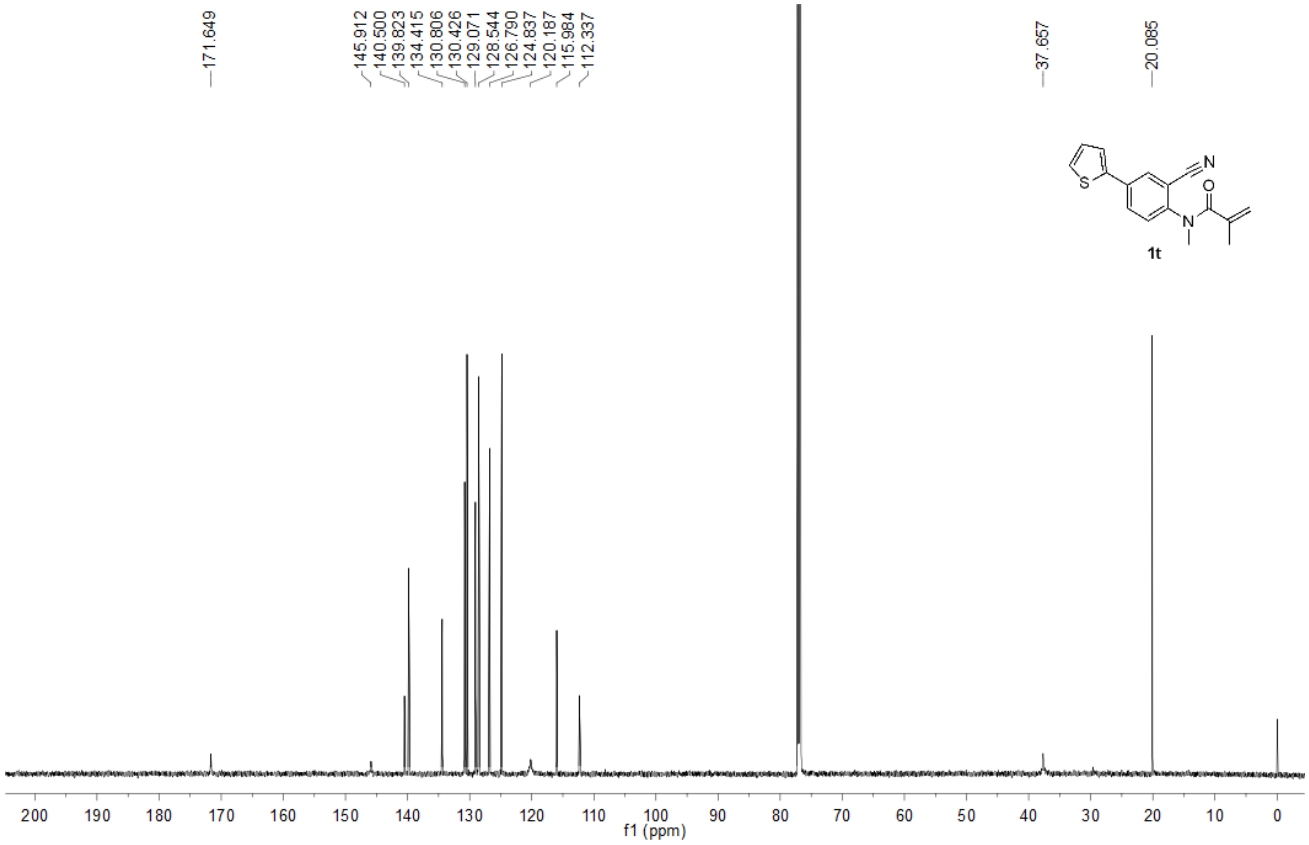
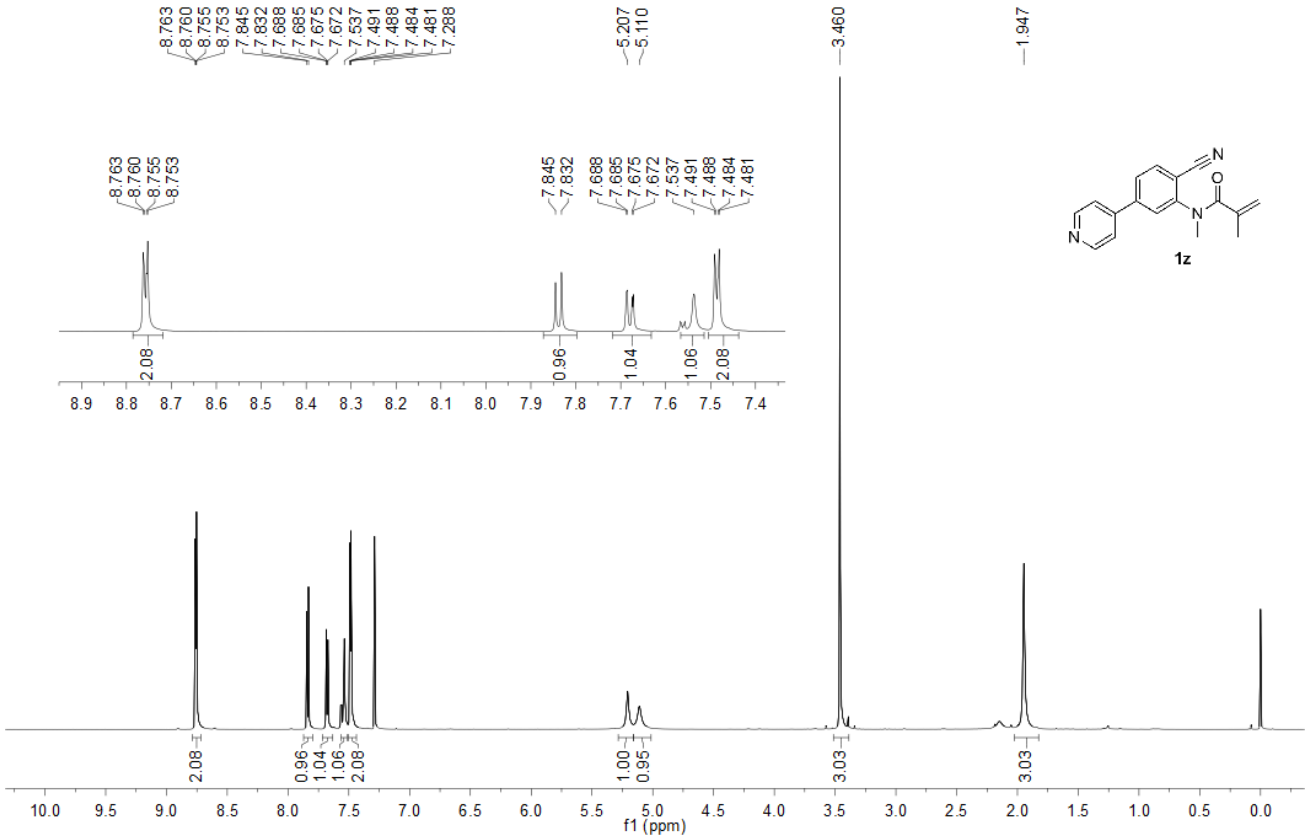


^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



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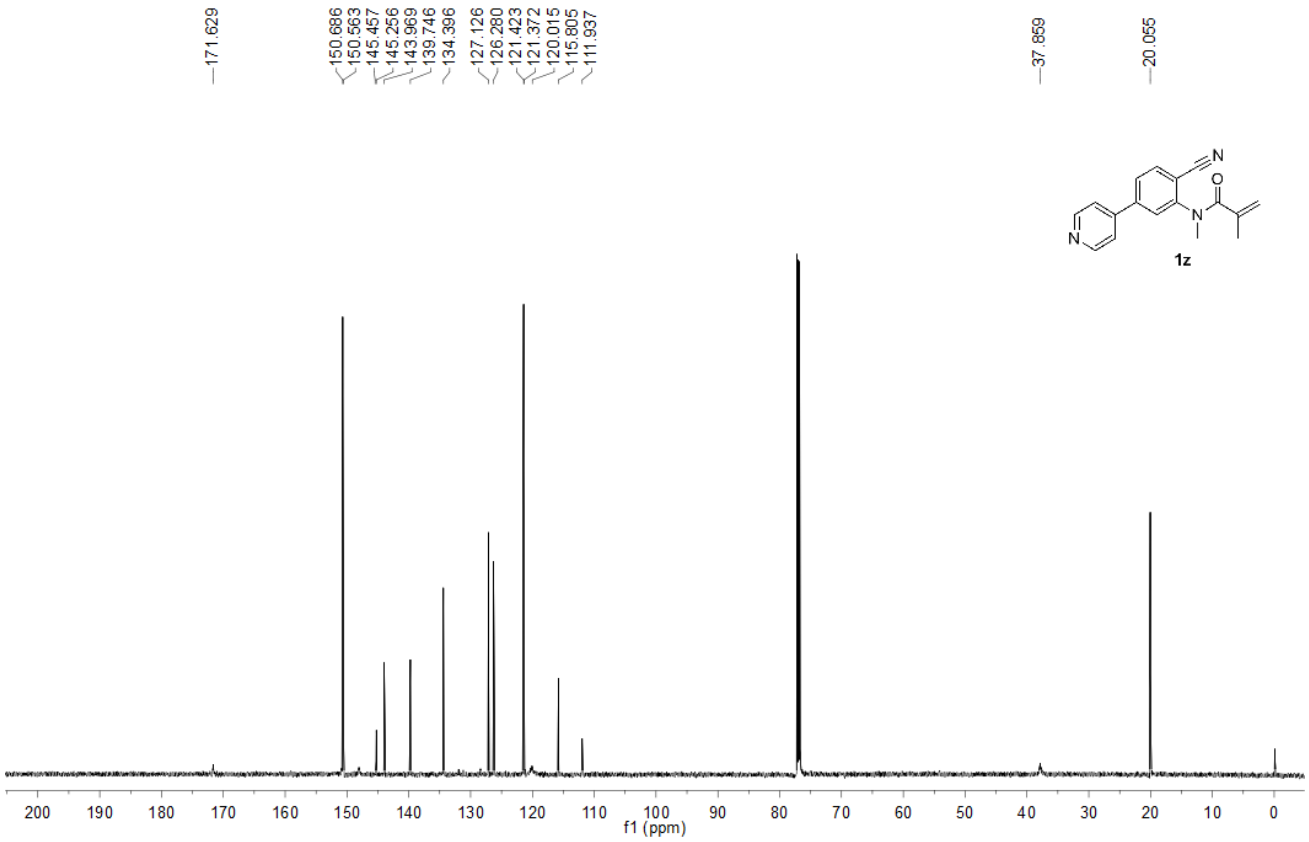

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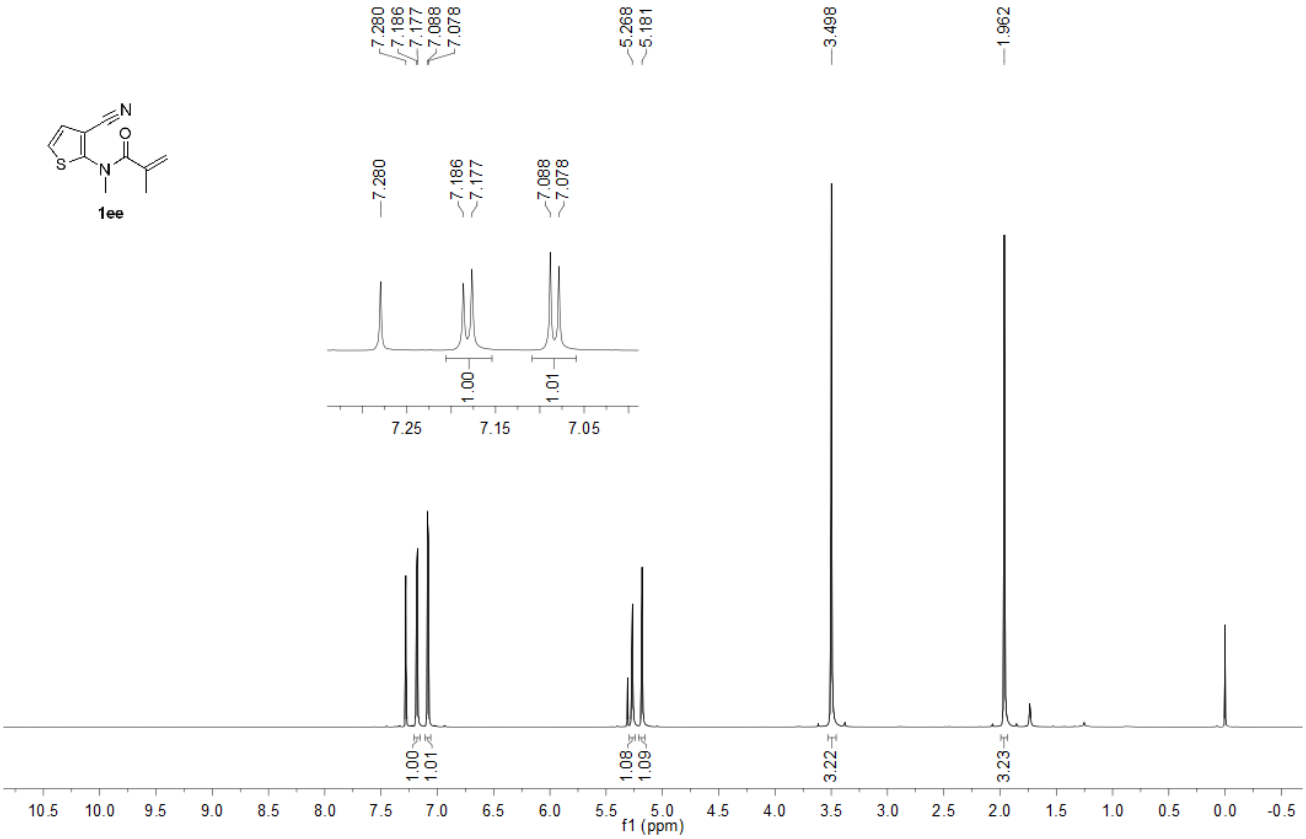

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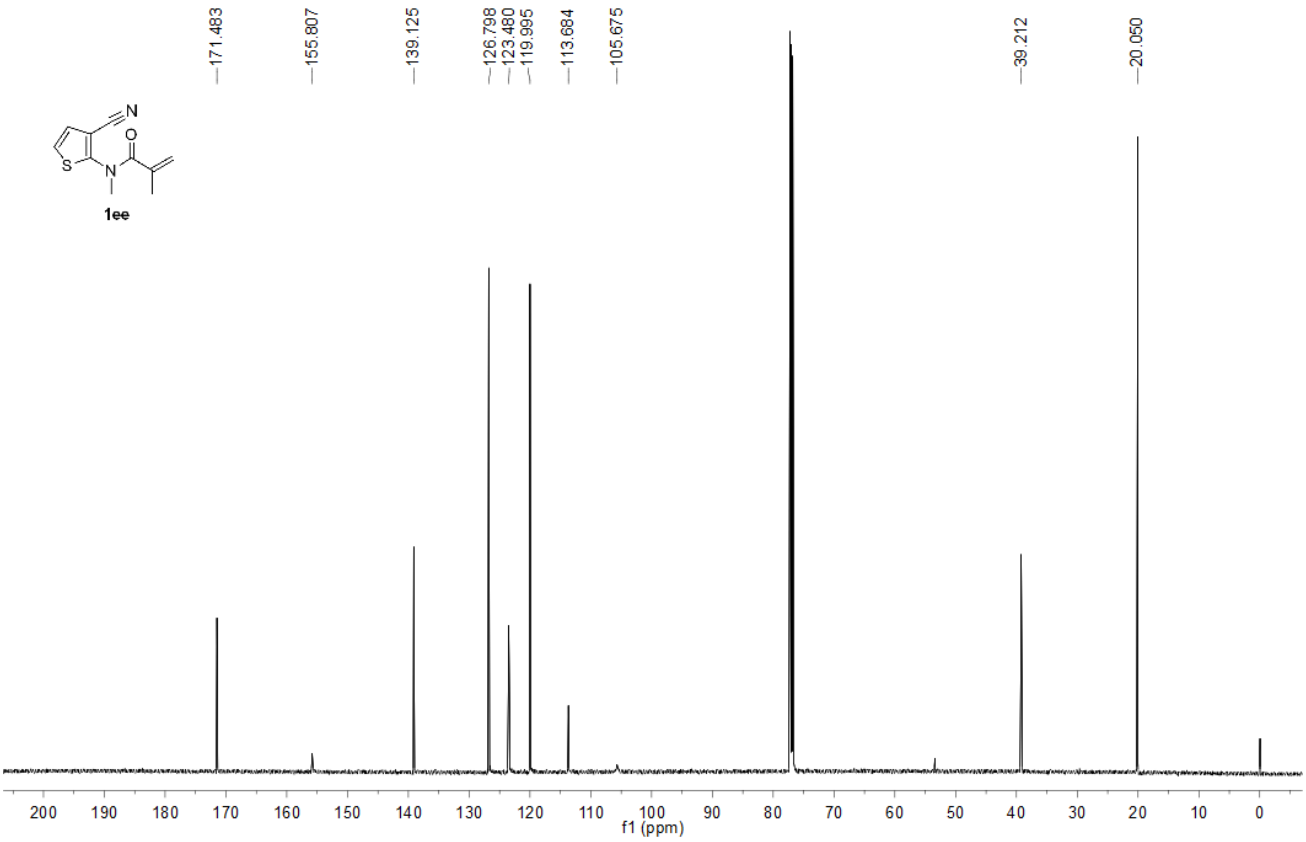
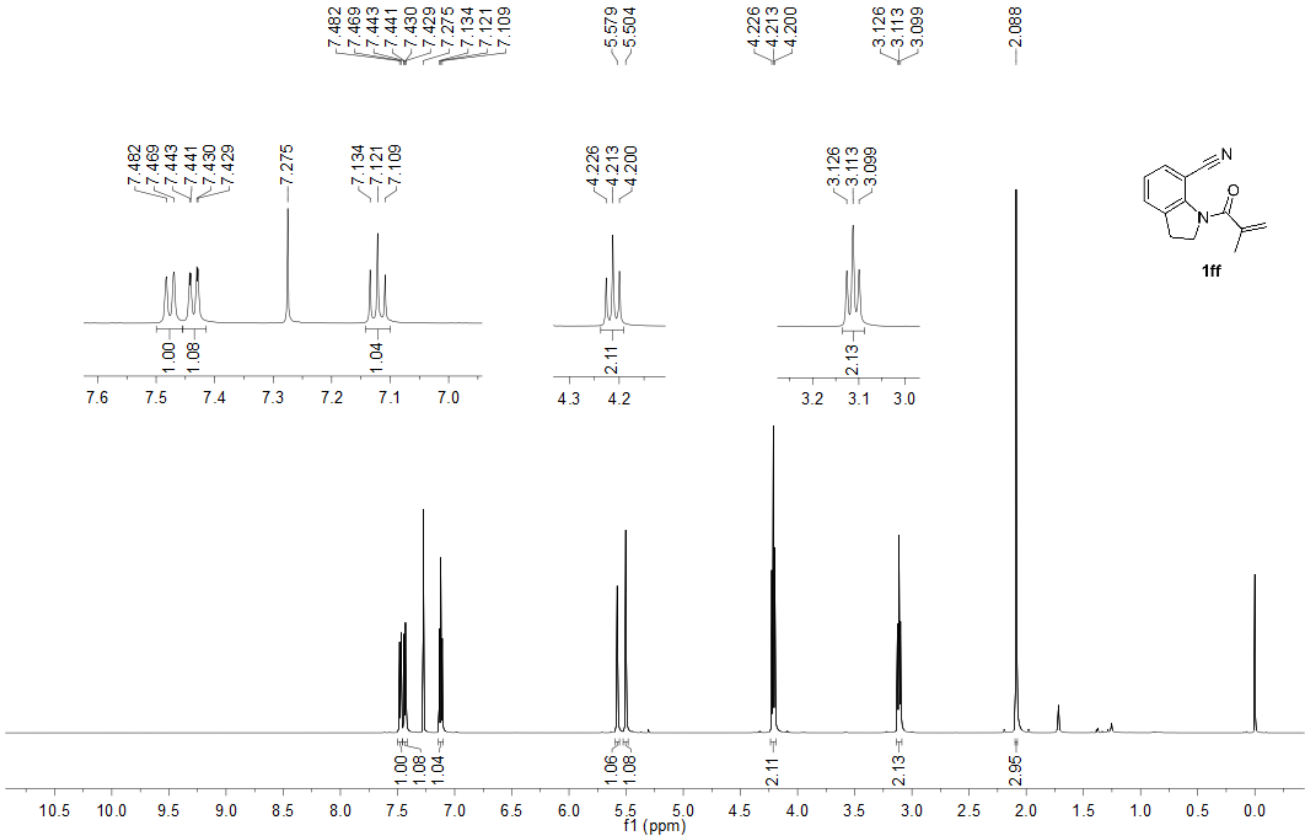
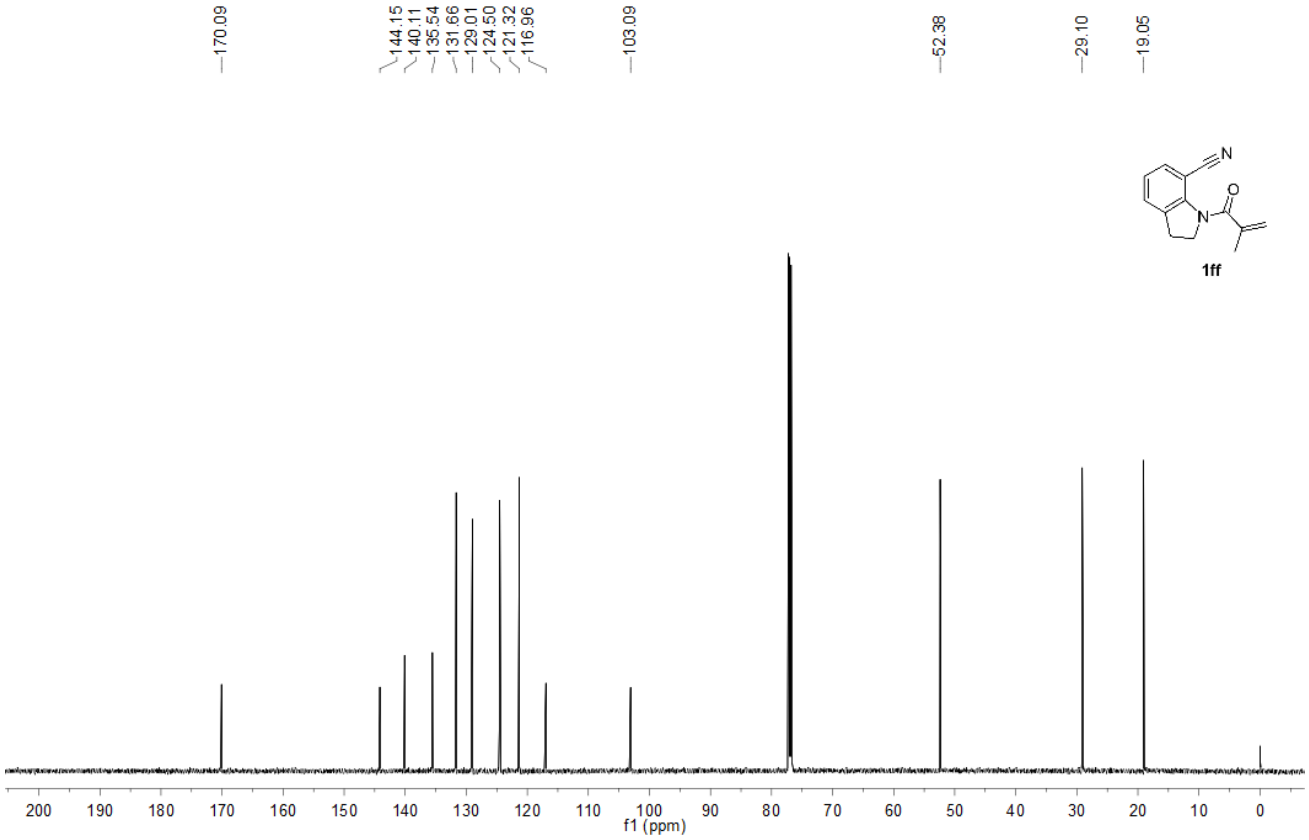


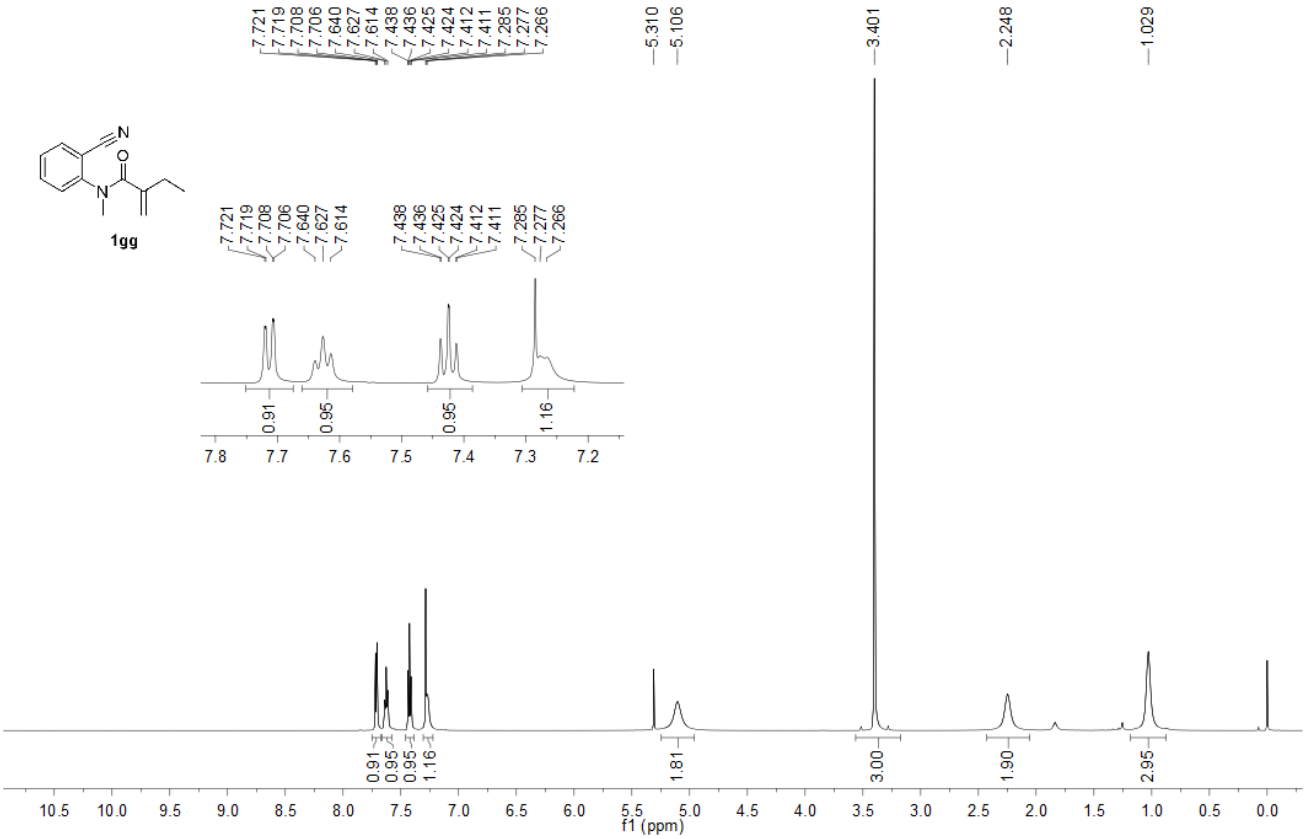
^{1}H NMR, 600 MHz, $CDCl_3$



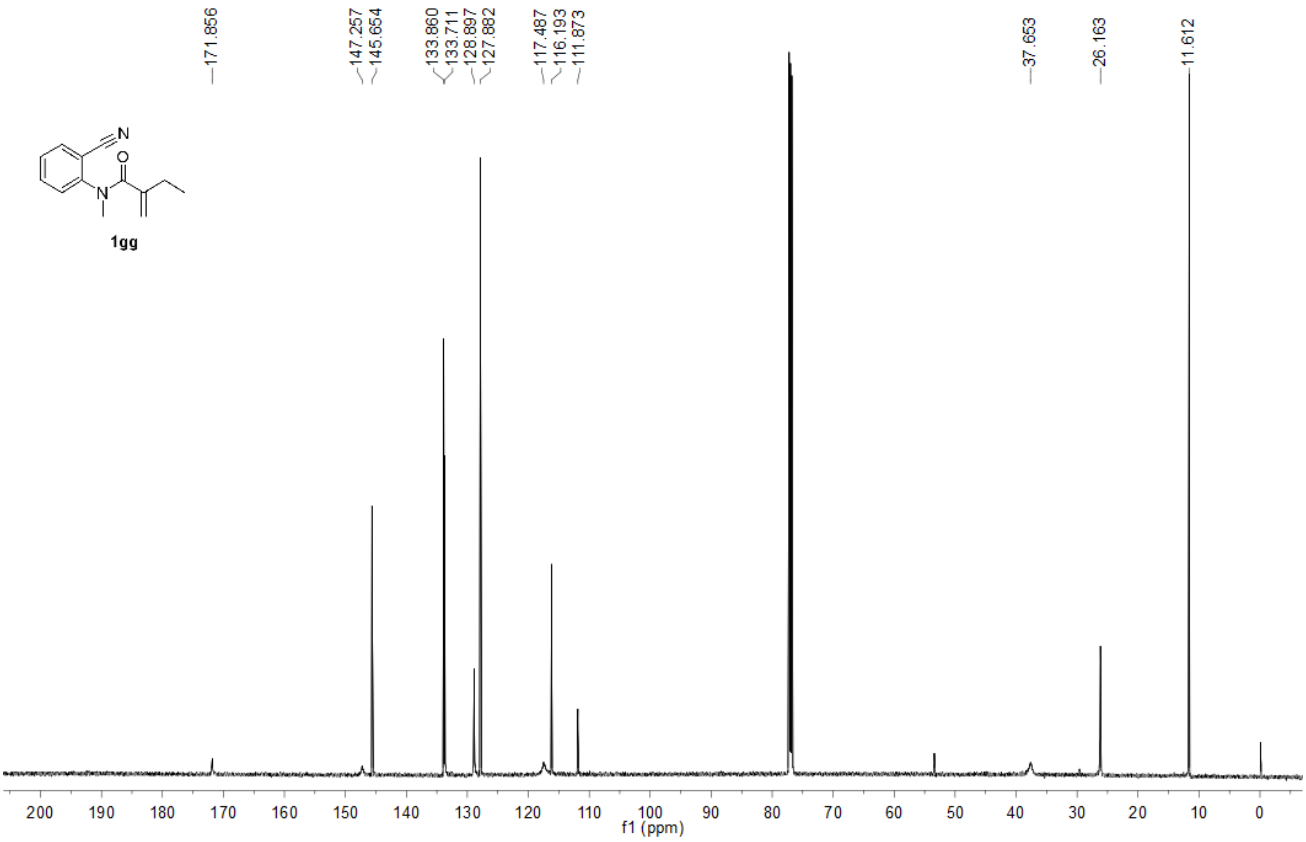
^{13}C NMR, 151 MHz, $CDCl_3$



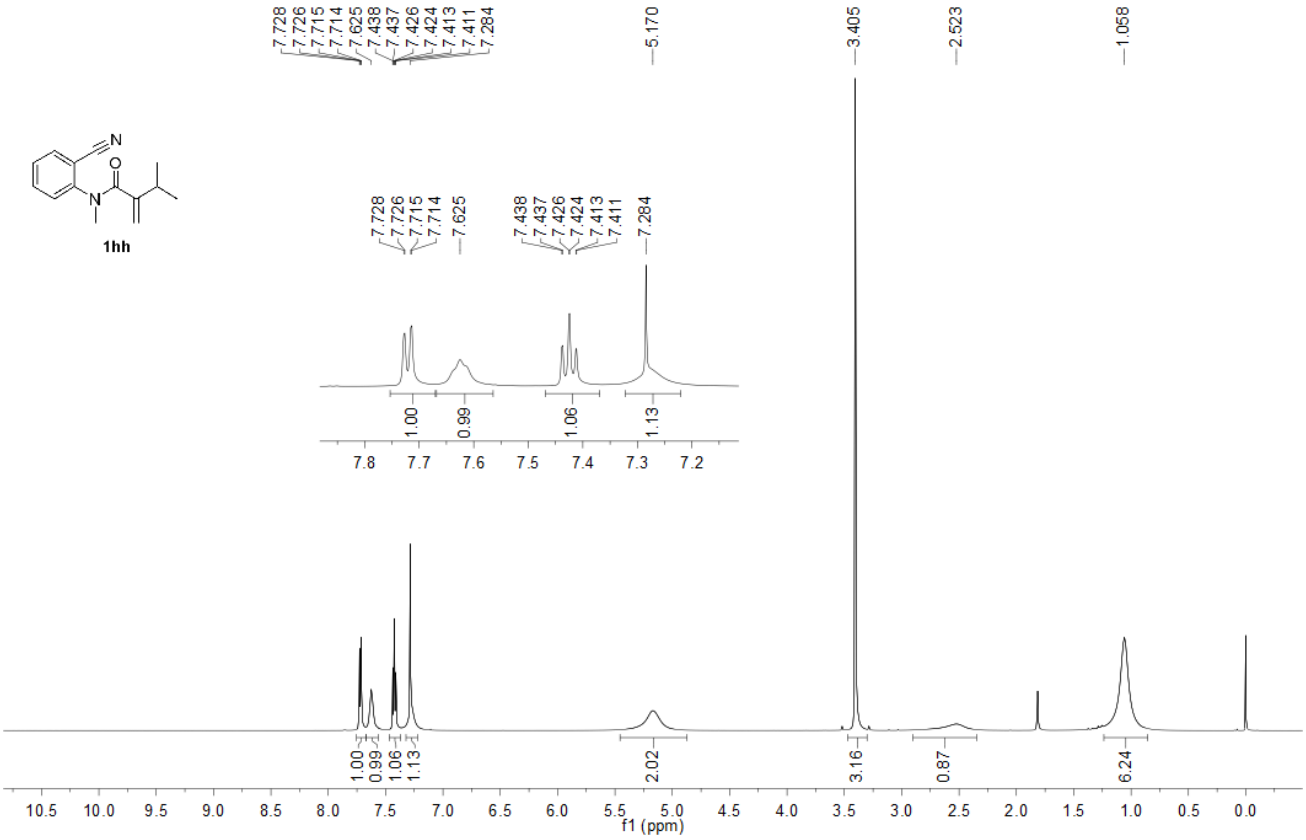
^{1}H NMR, 600 MHz, $CDCl_3$



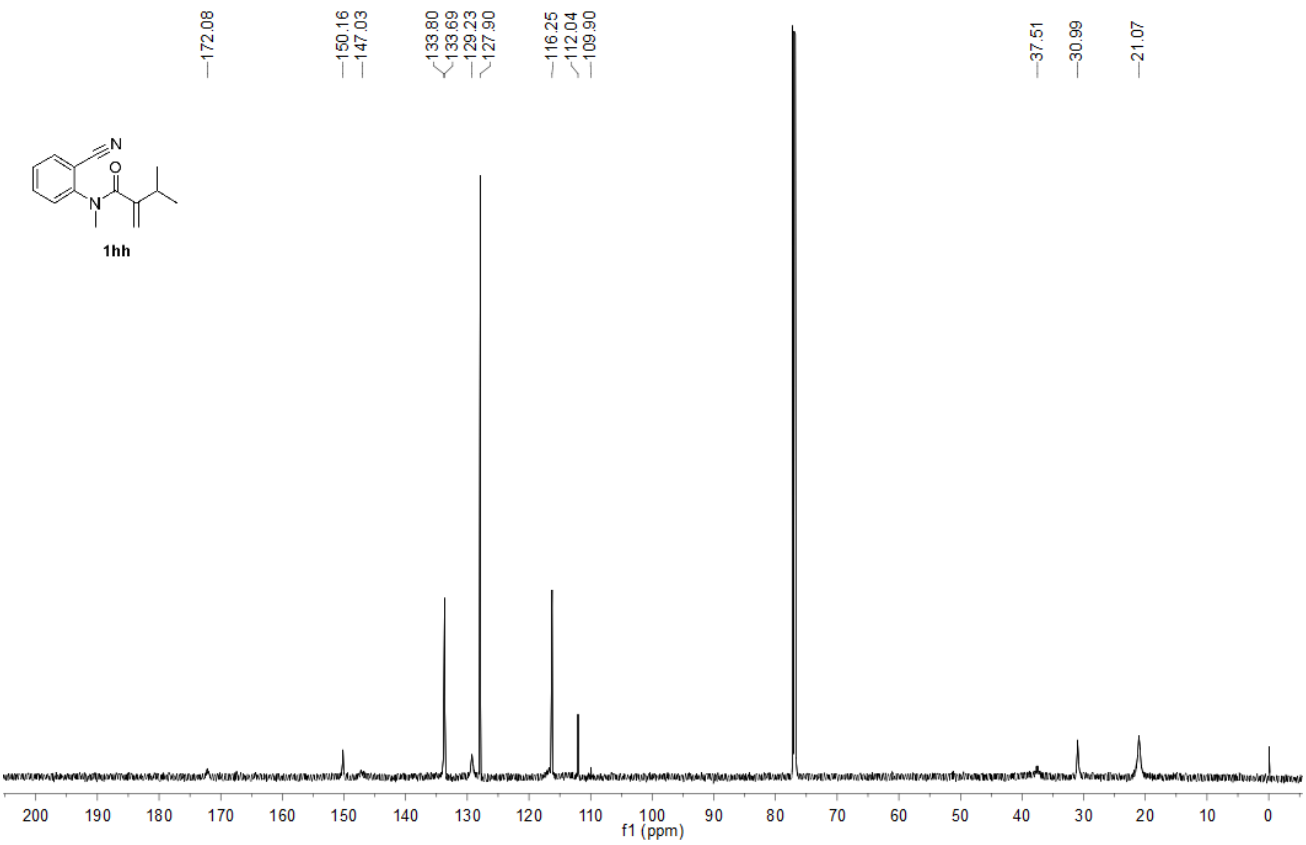
^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



^{13}C NMR, 151 MHz, $CDCl_3$



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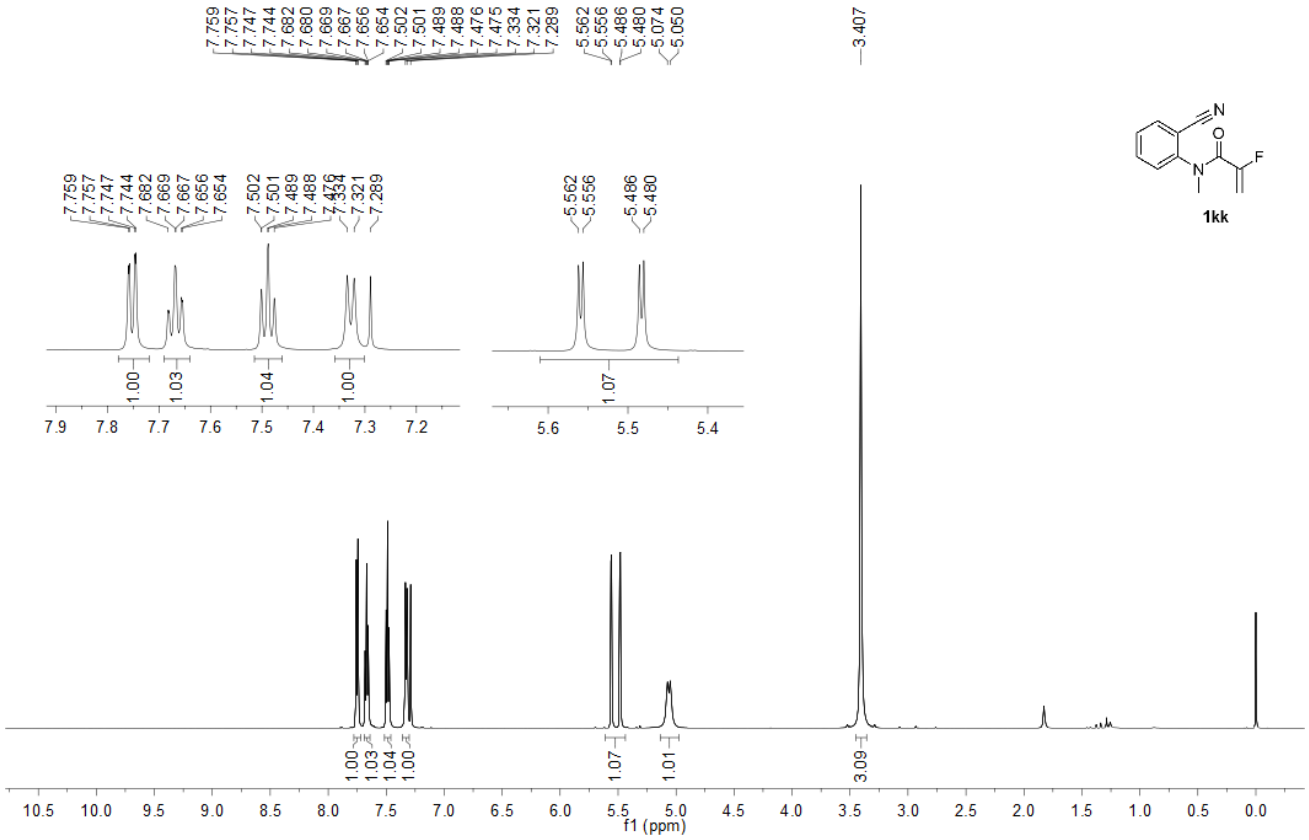
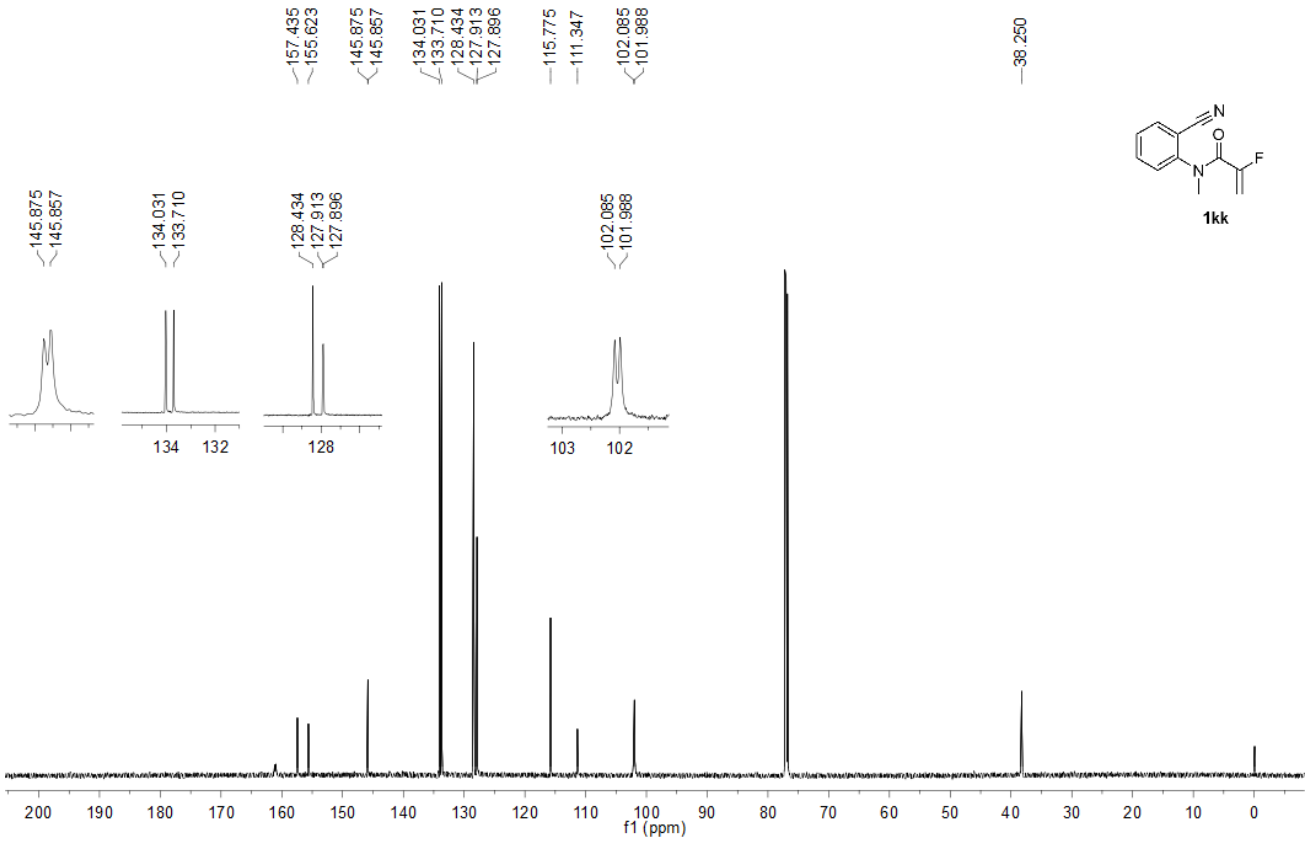


^{13}C NMR, 151 MHz, $CDCl_3$



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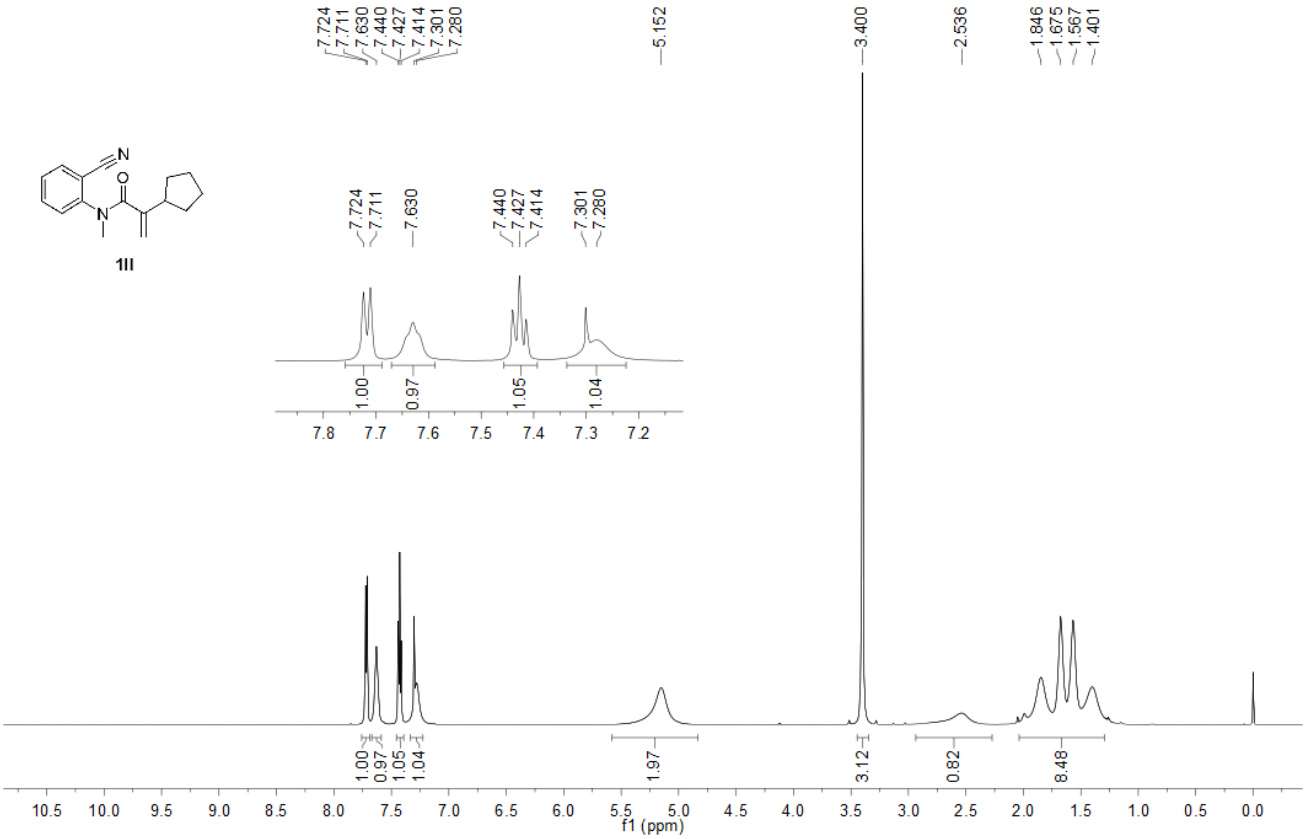

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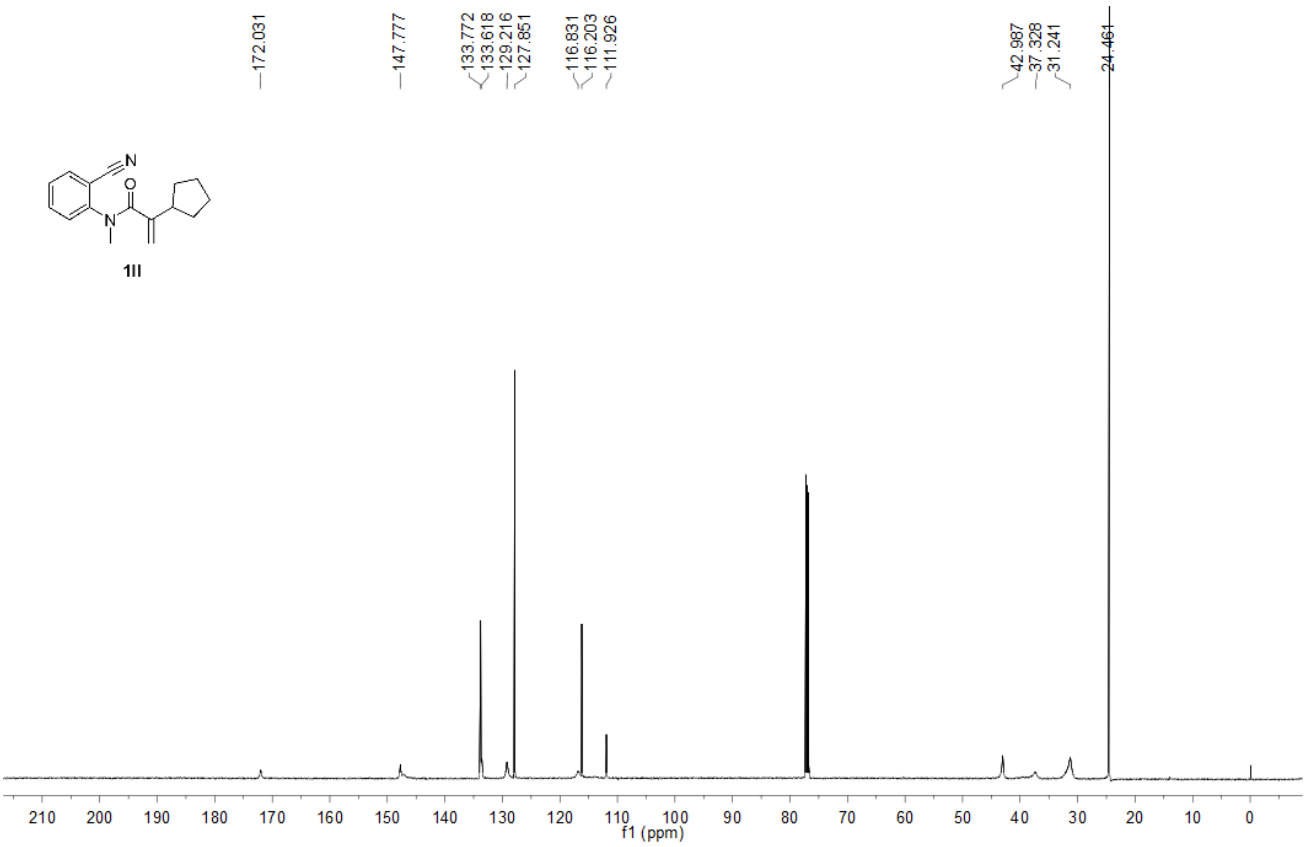
^{13}C NMR, 151 MHz, $CDCl_3$



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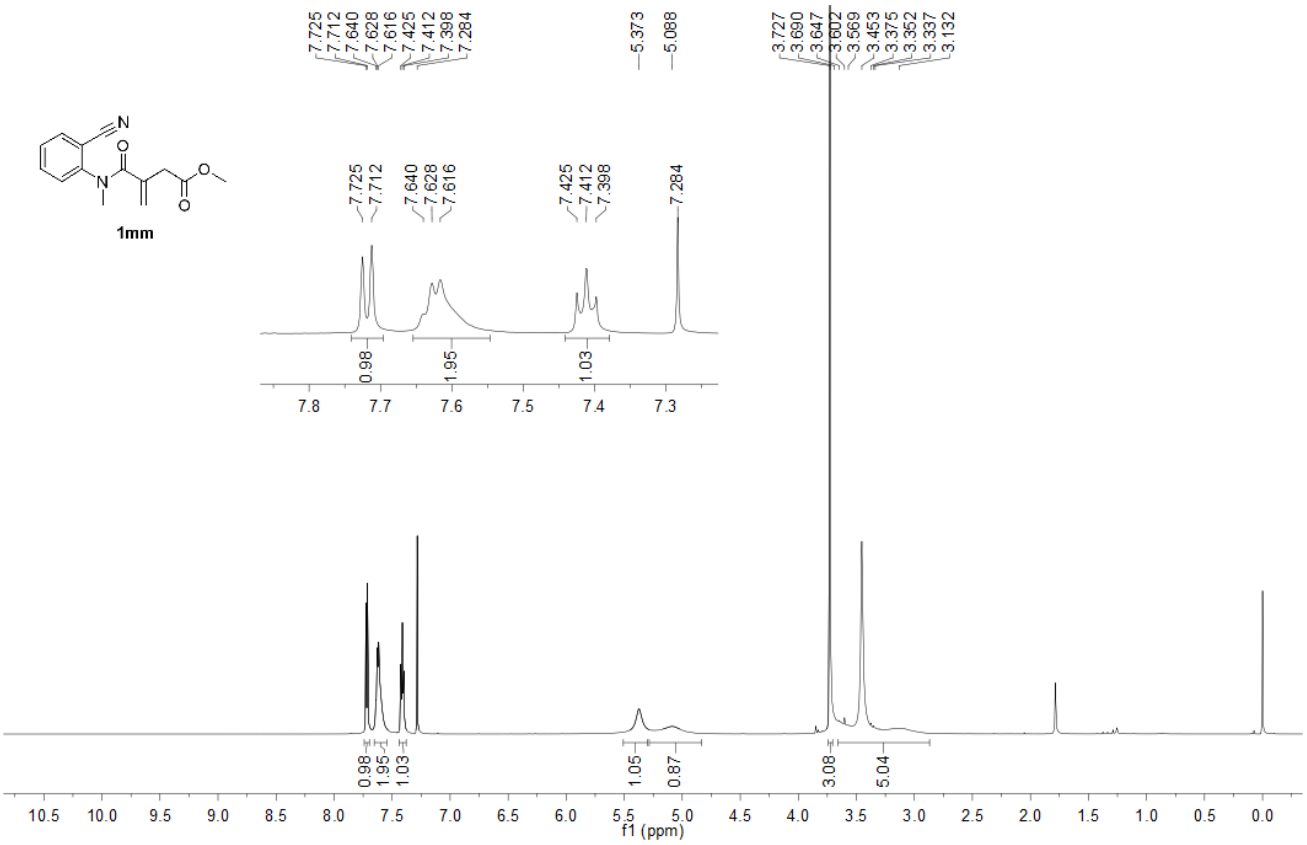
^{1}H NMR, 600 MHz, $CDCl_3$



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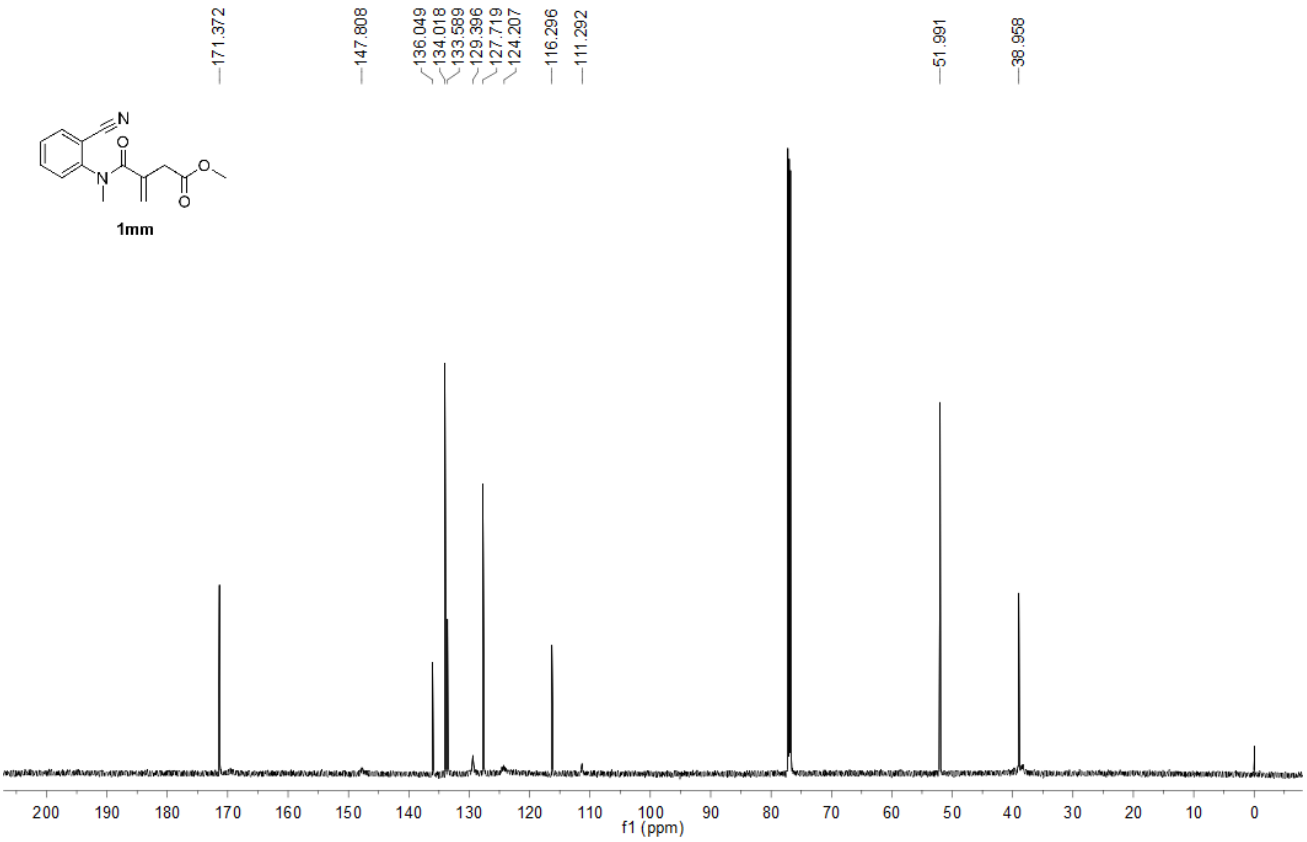

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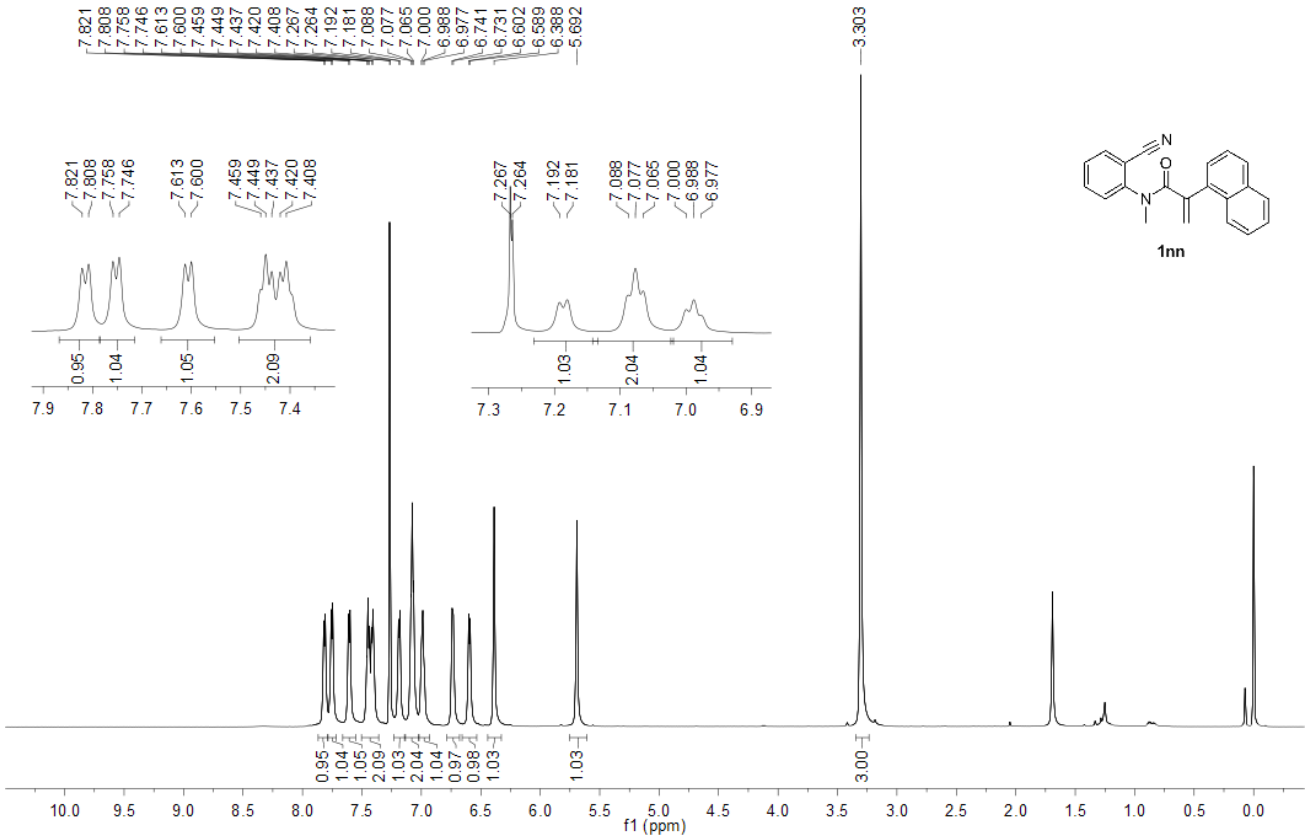

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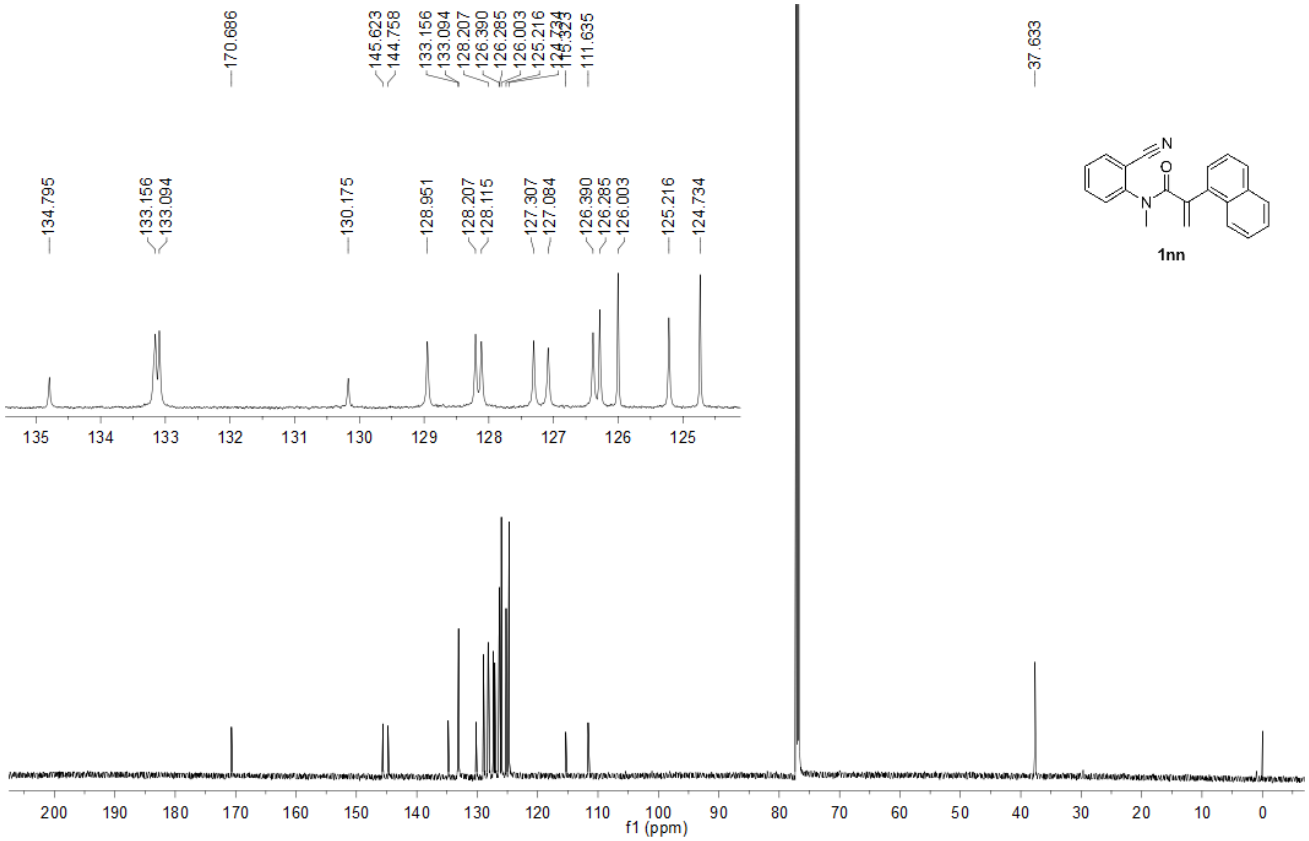

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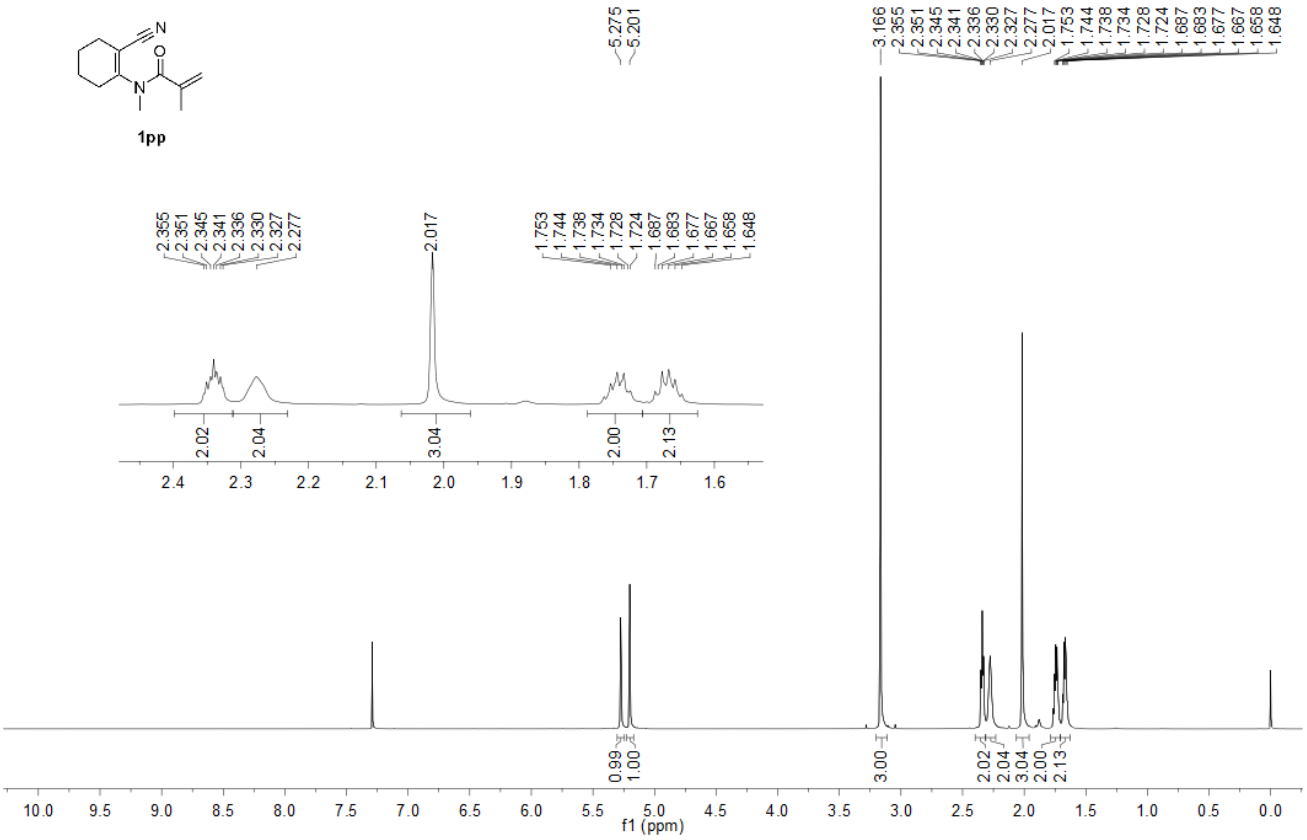

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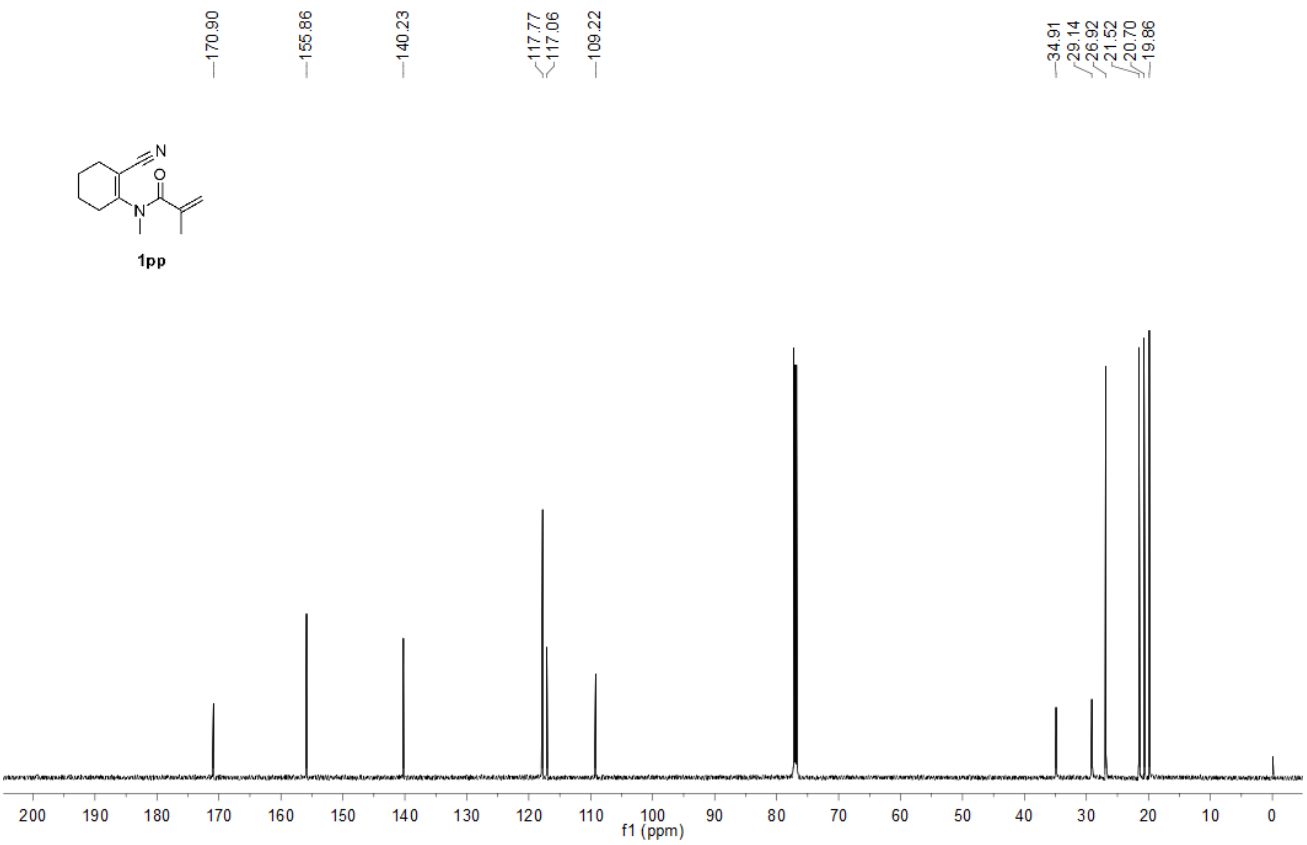

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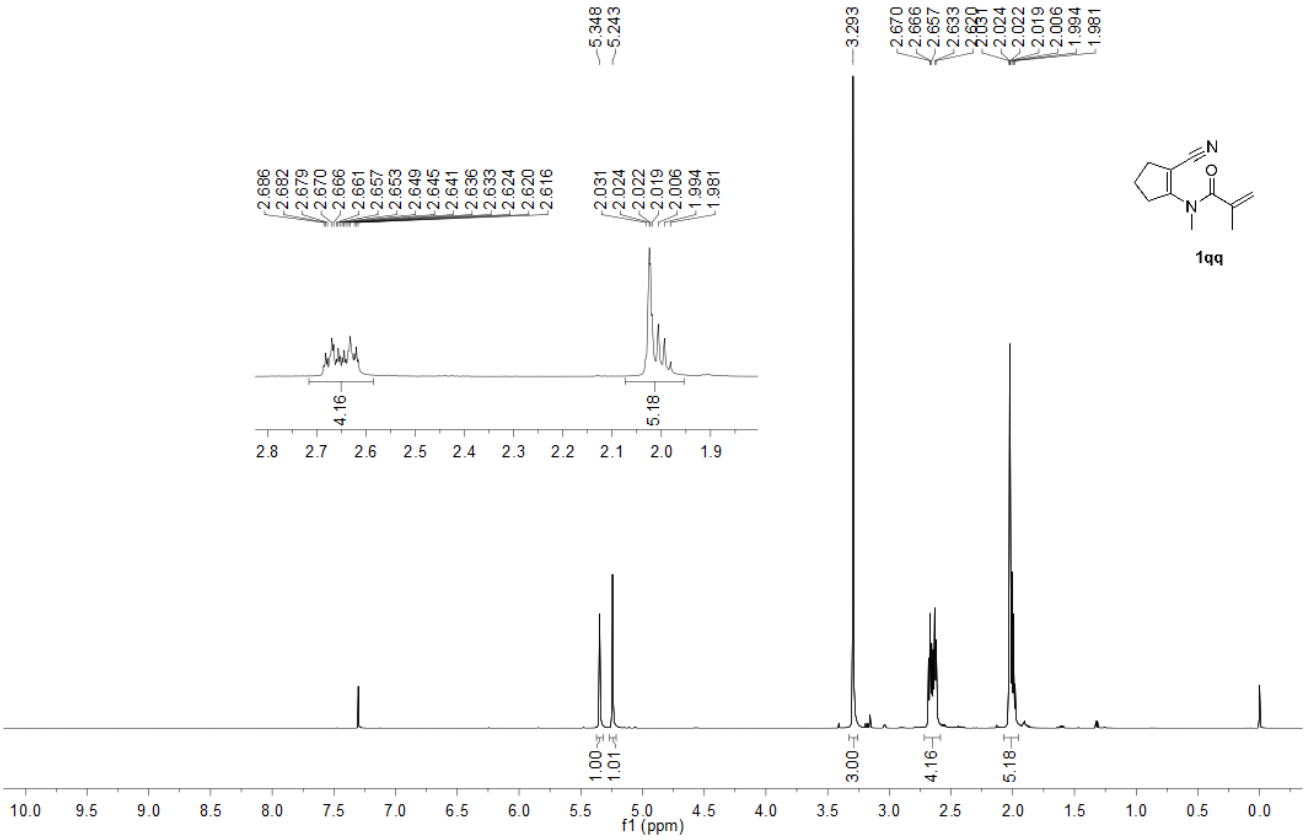
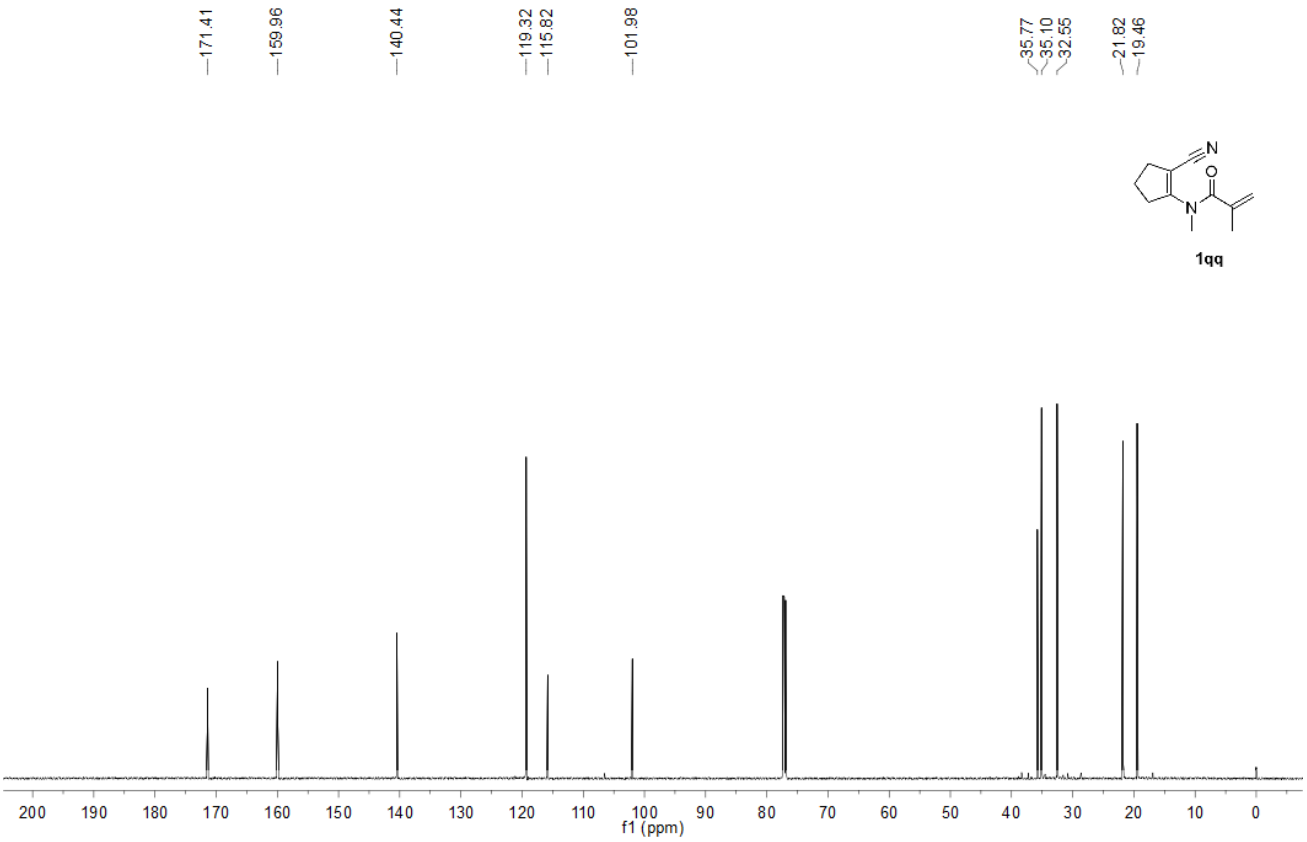


^{13}C NMR, 151 MHz, $CDCl_3$



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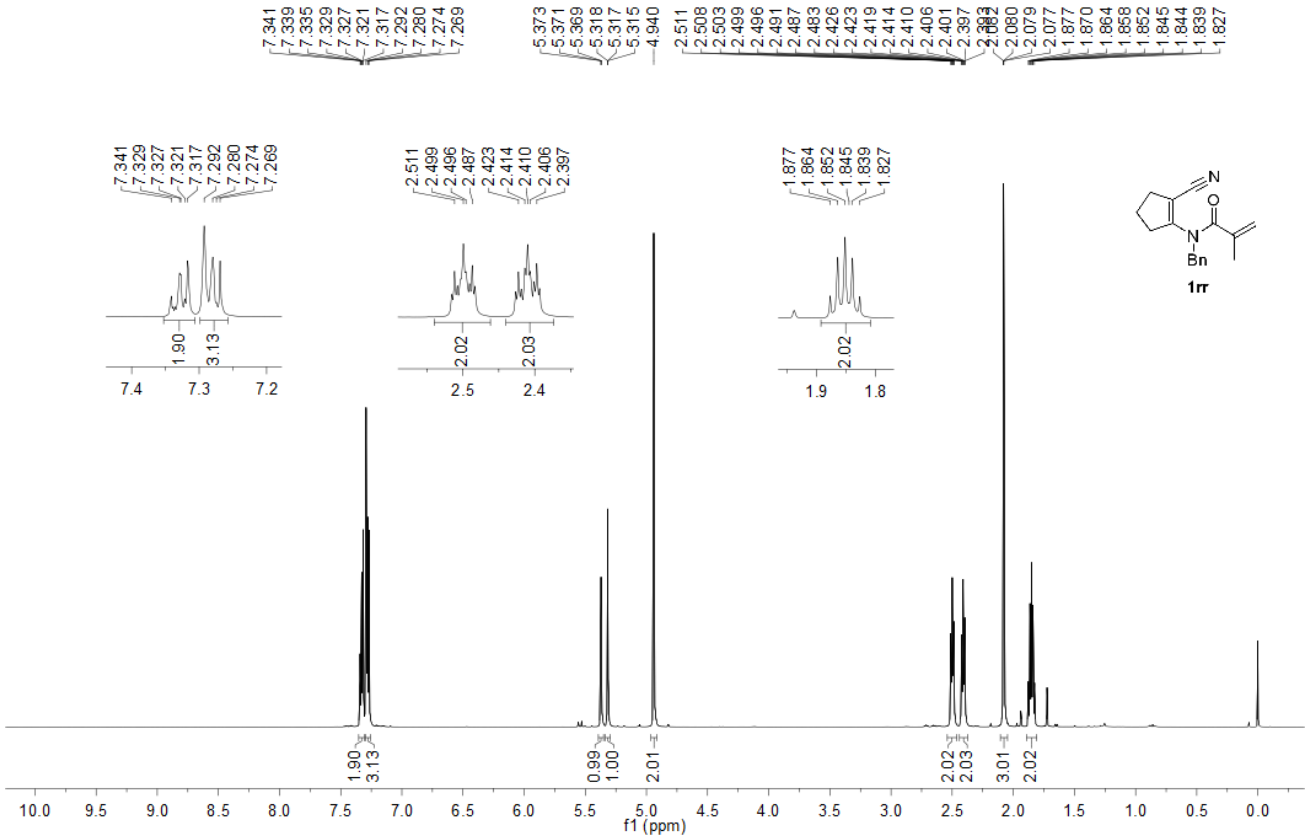
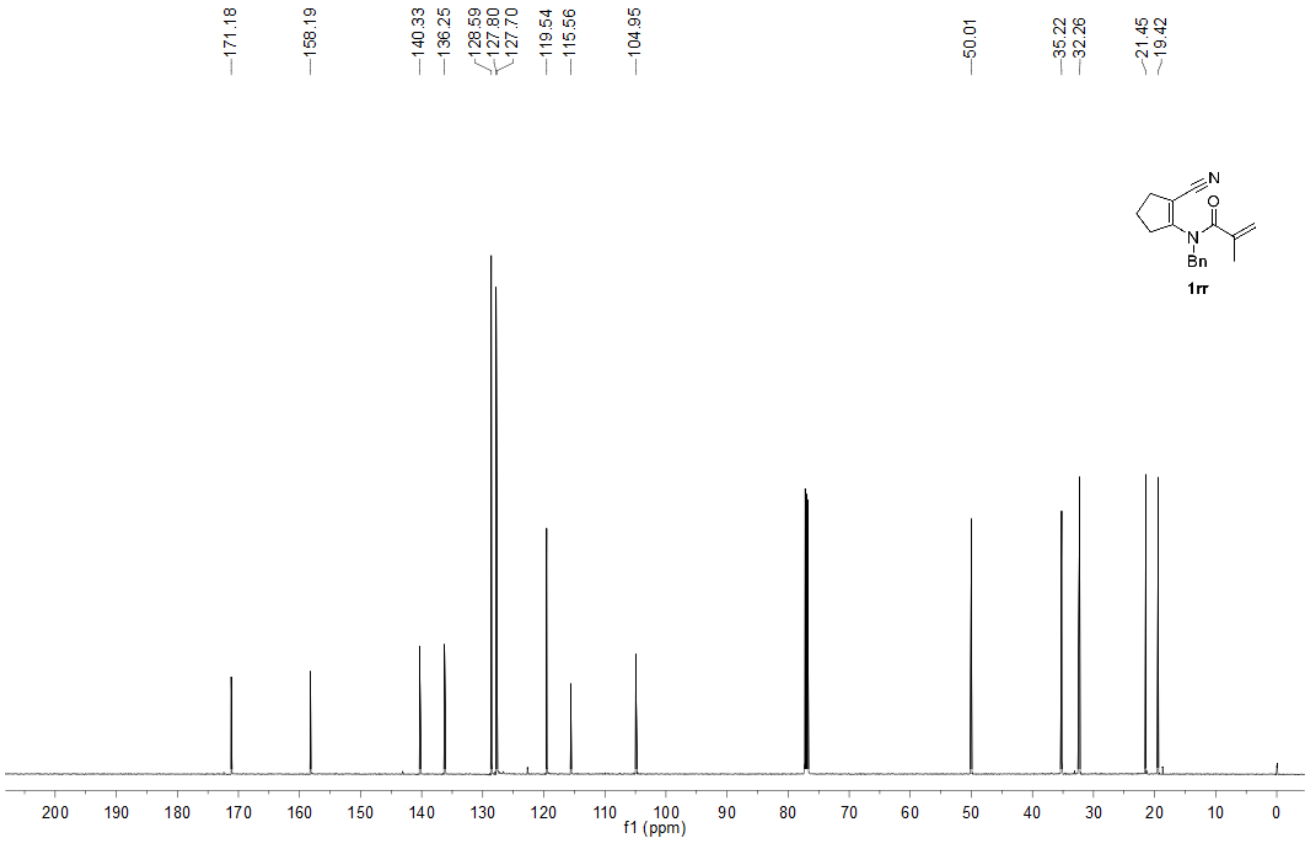


^{13}C NMR, 151 MHz, $CDCl_3$



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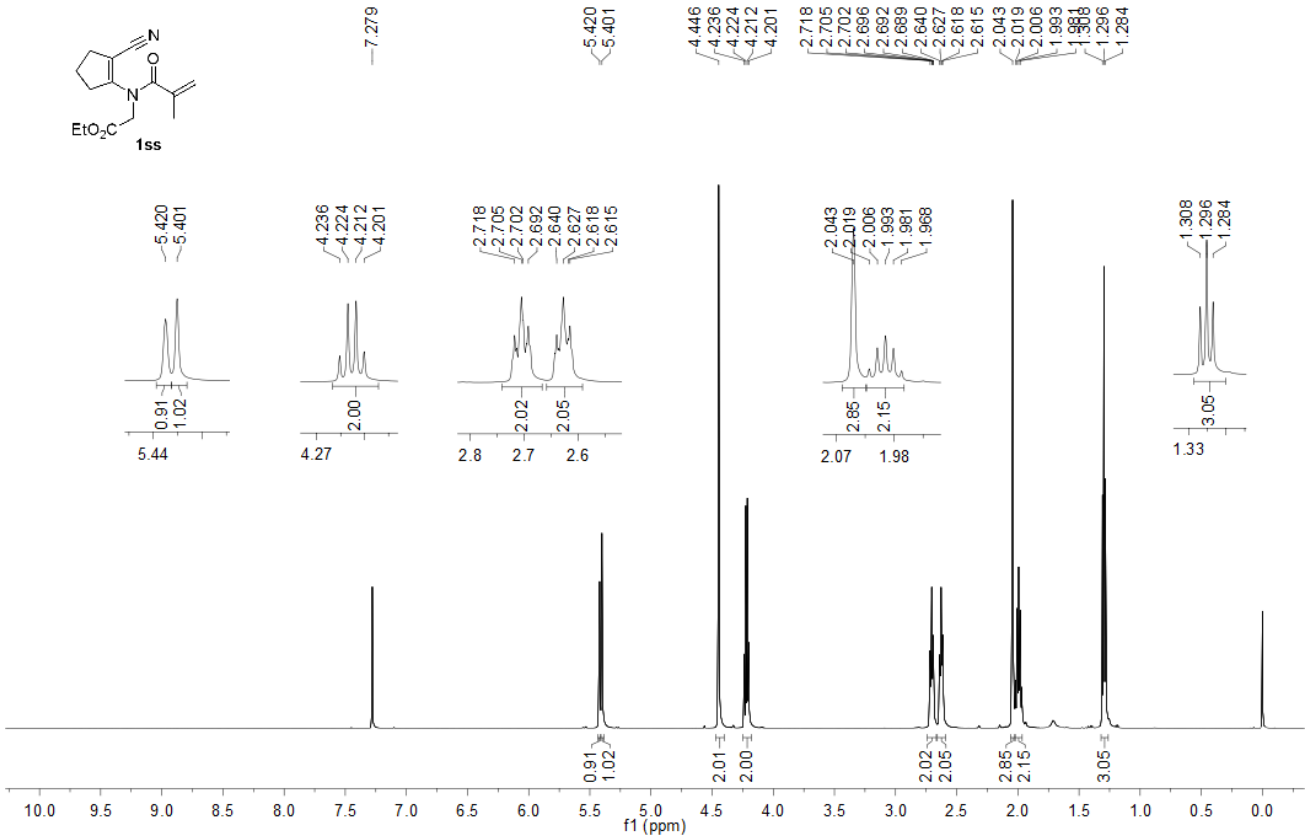

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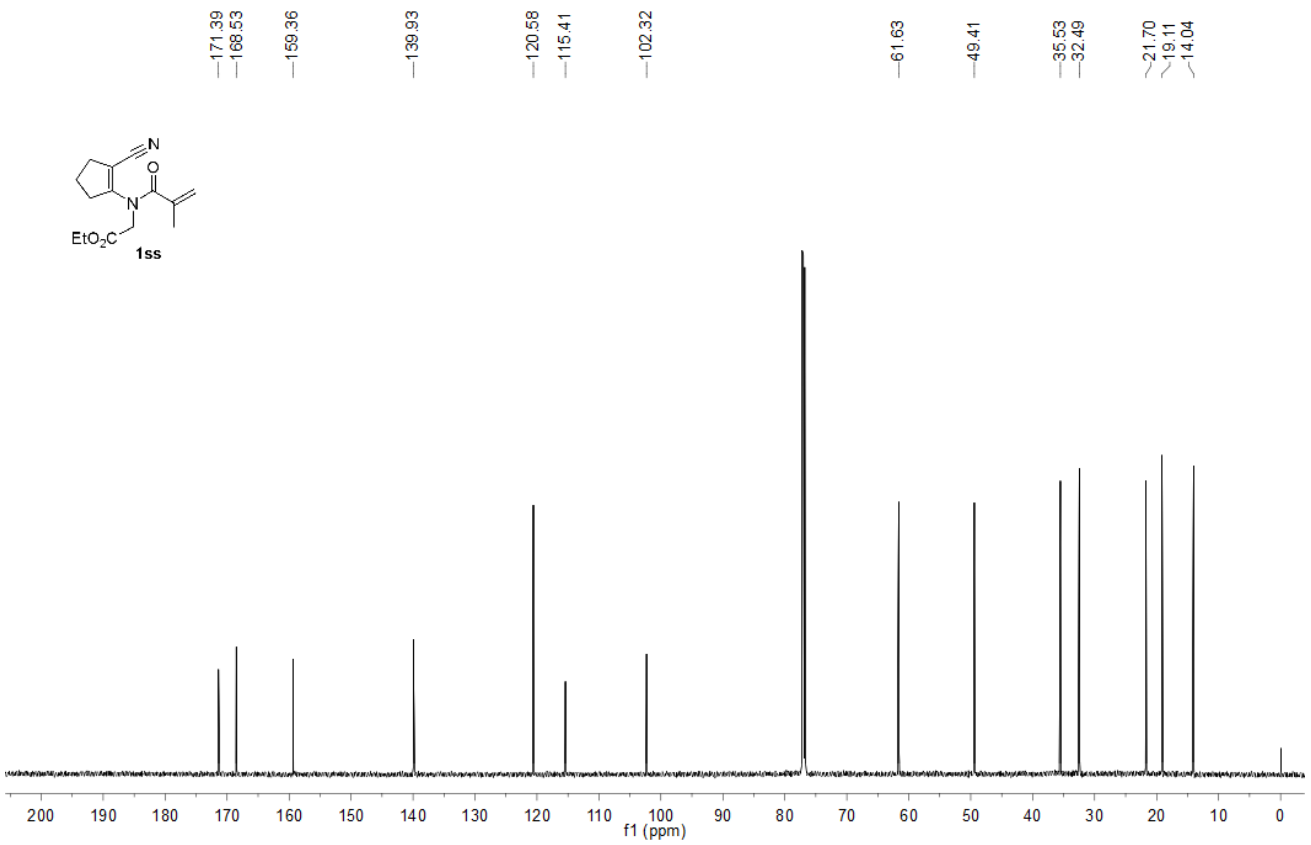

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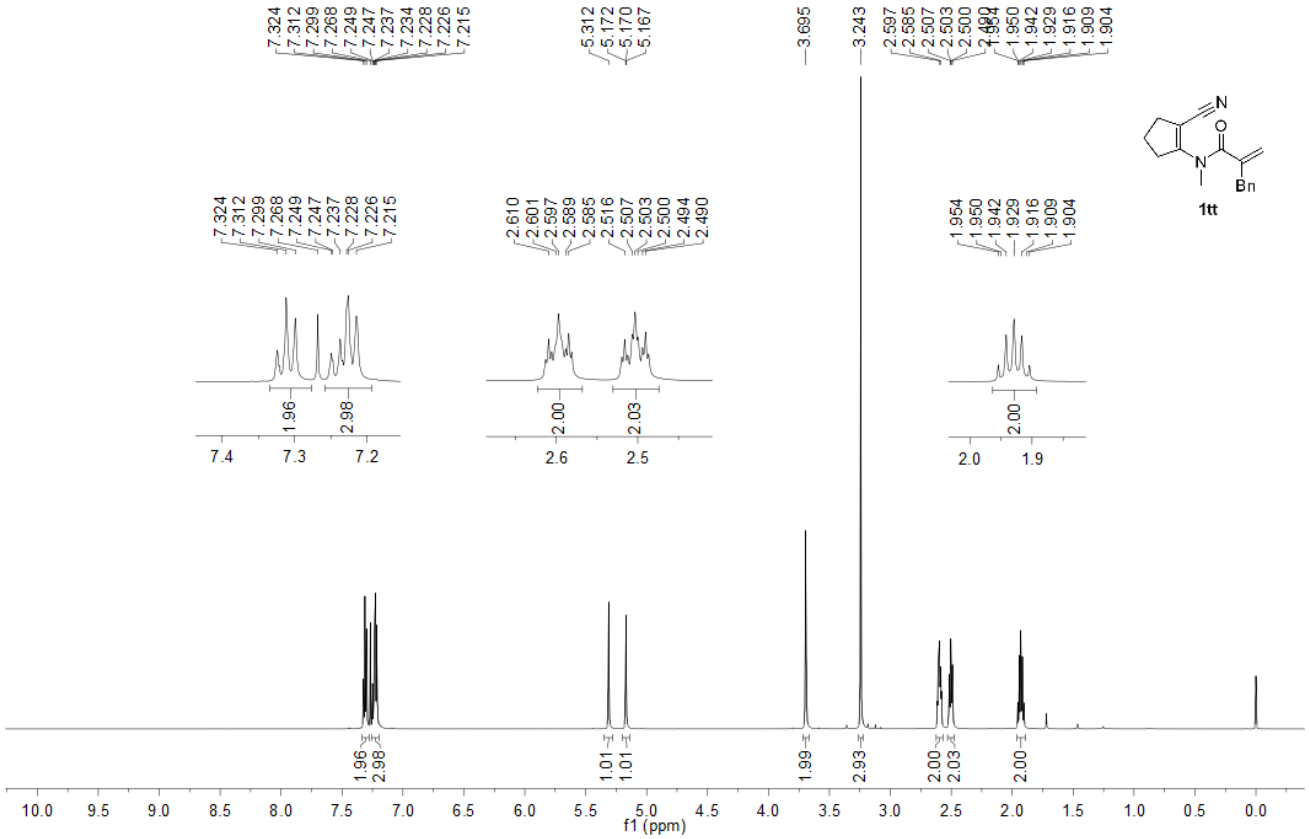

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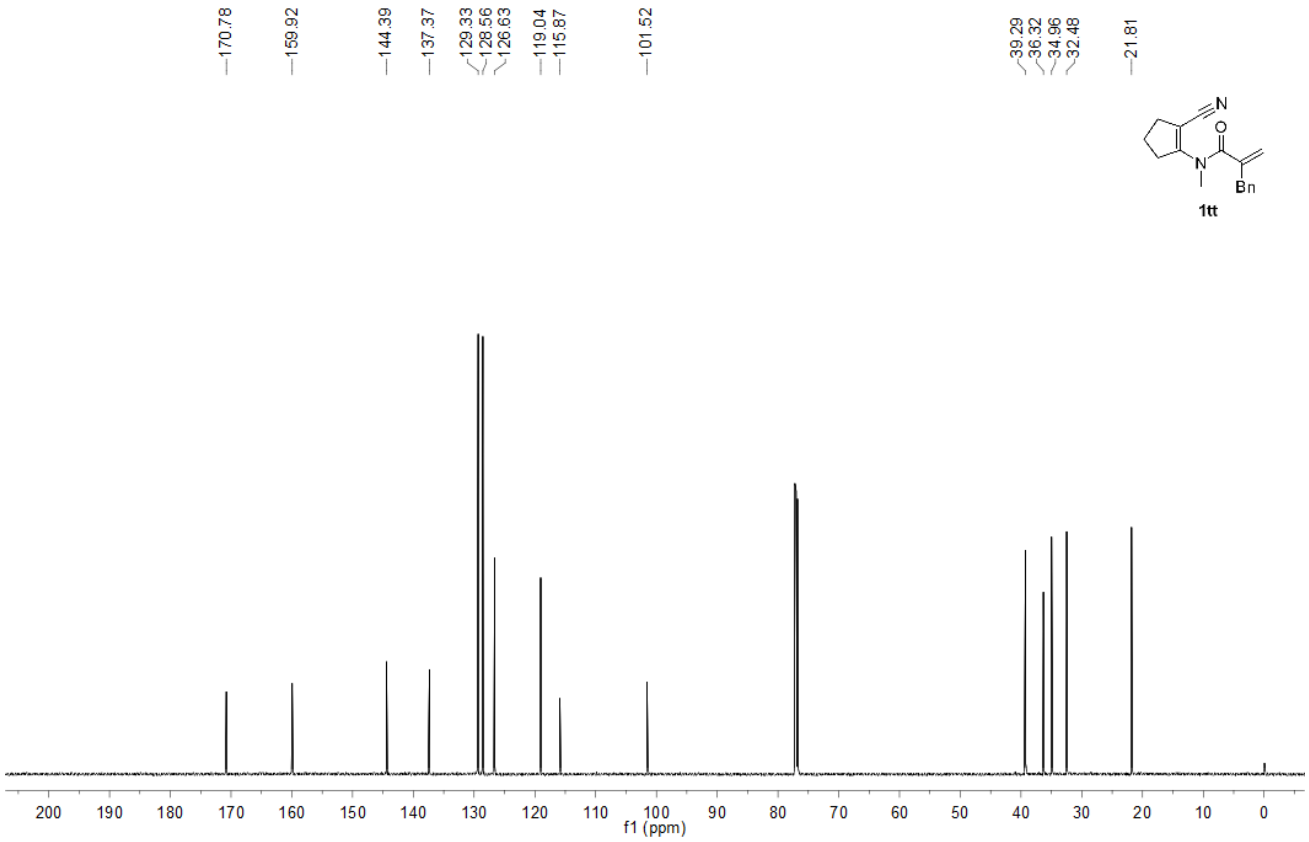
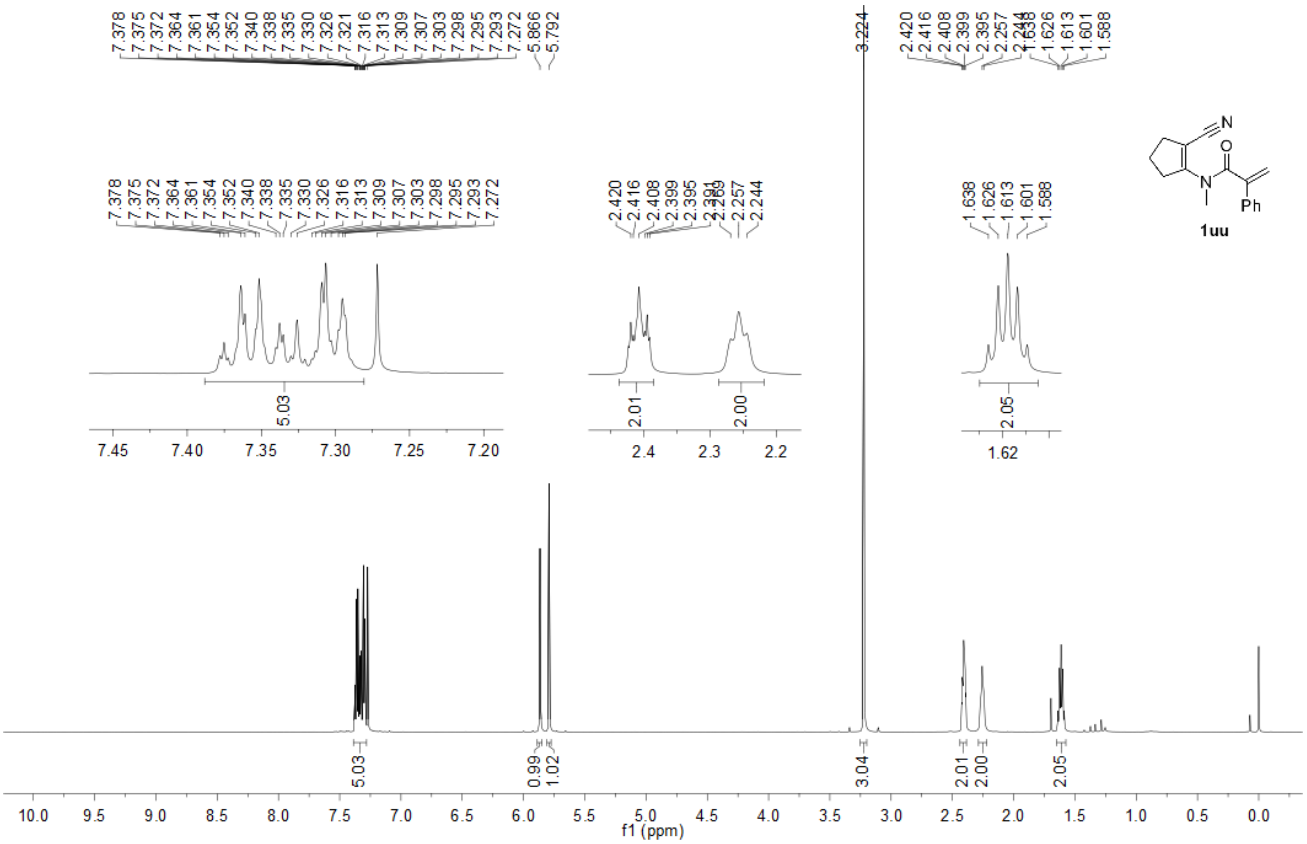
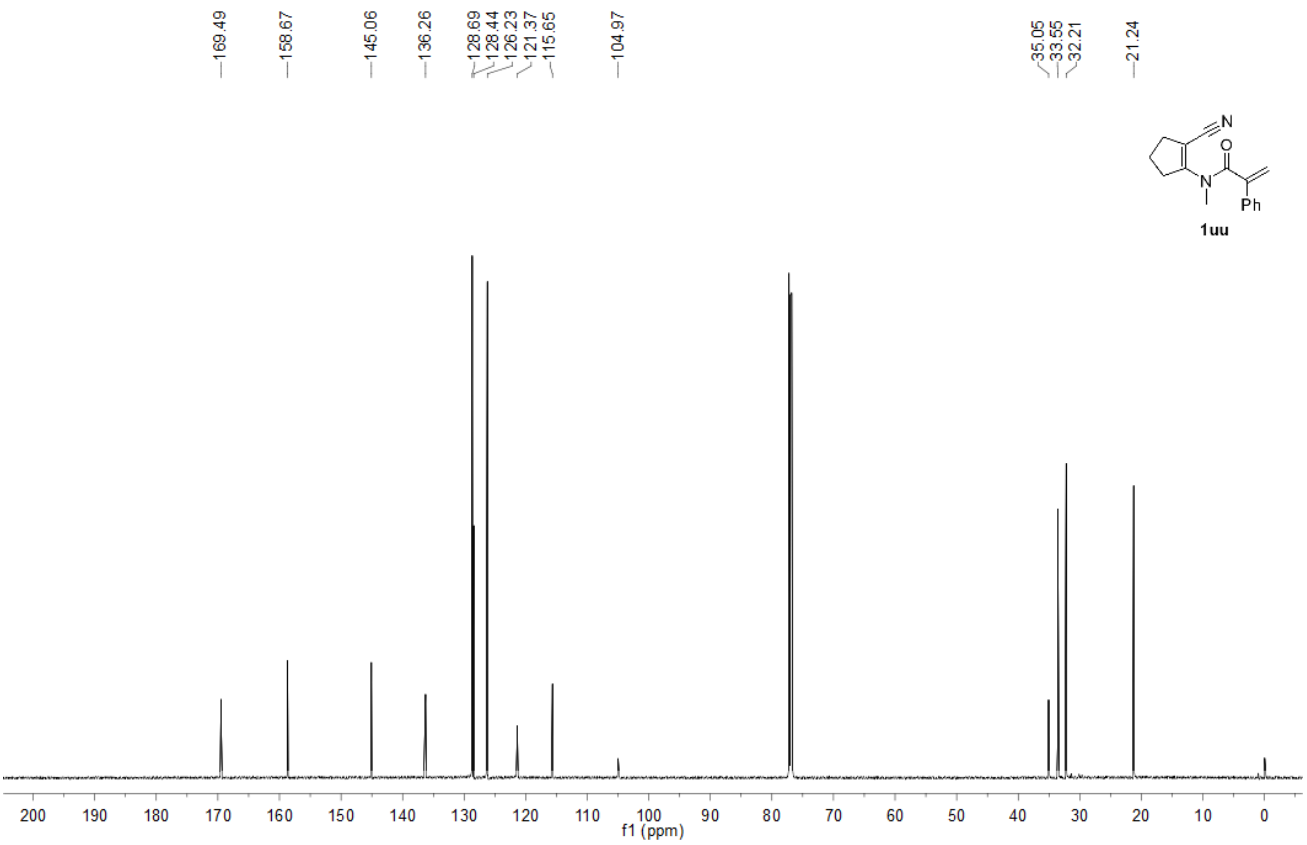


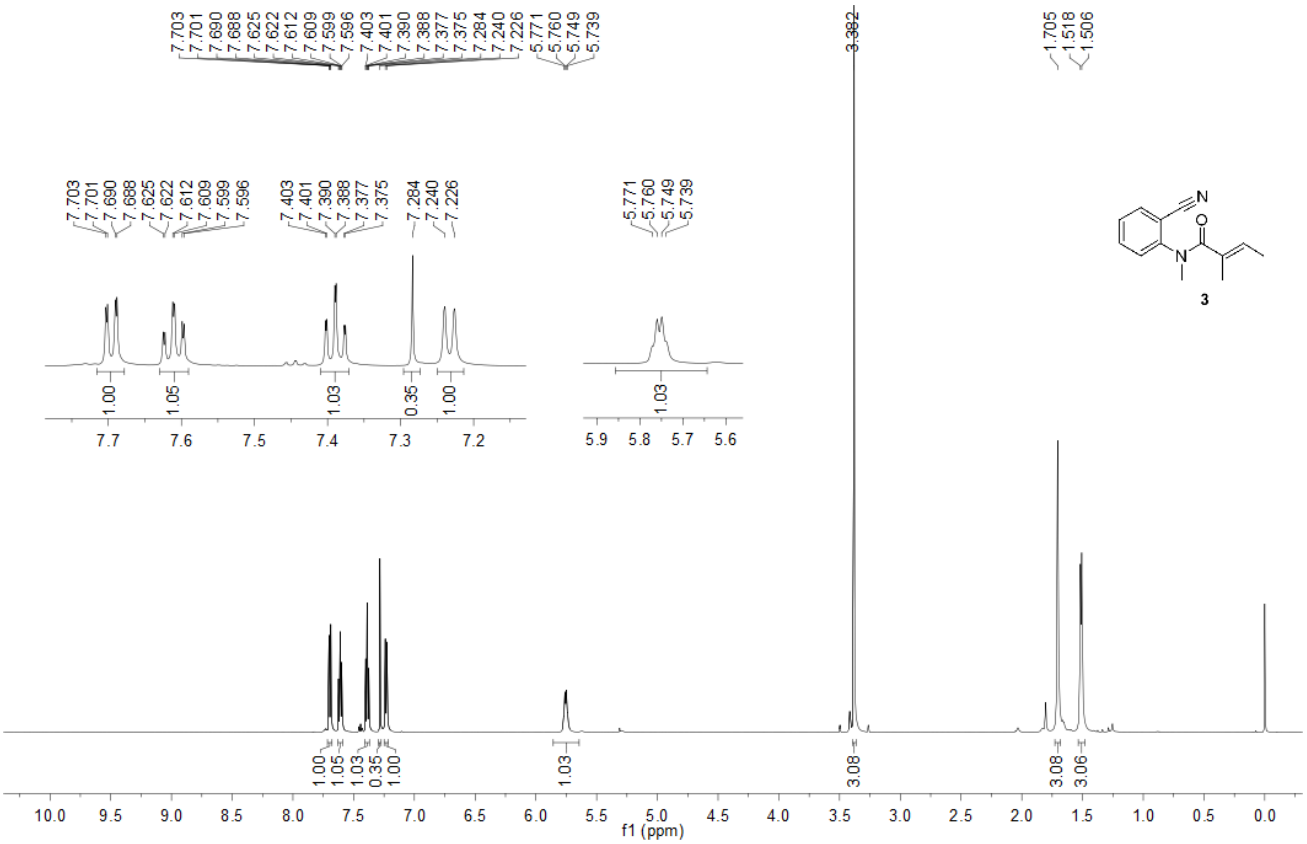
^{1}H NMR, 600 MHz, $CDCl_3$



^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



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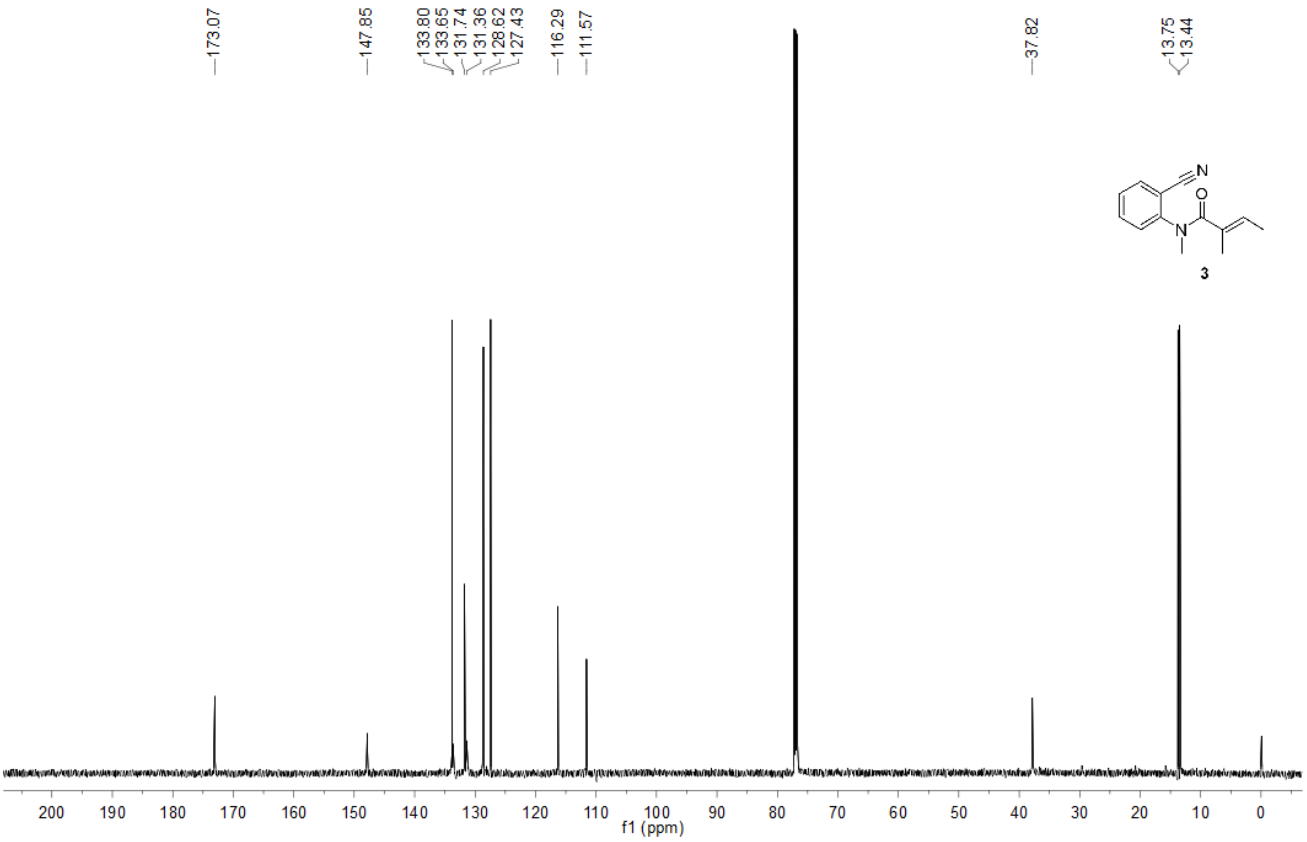
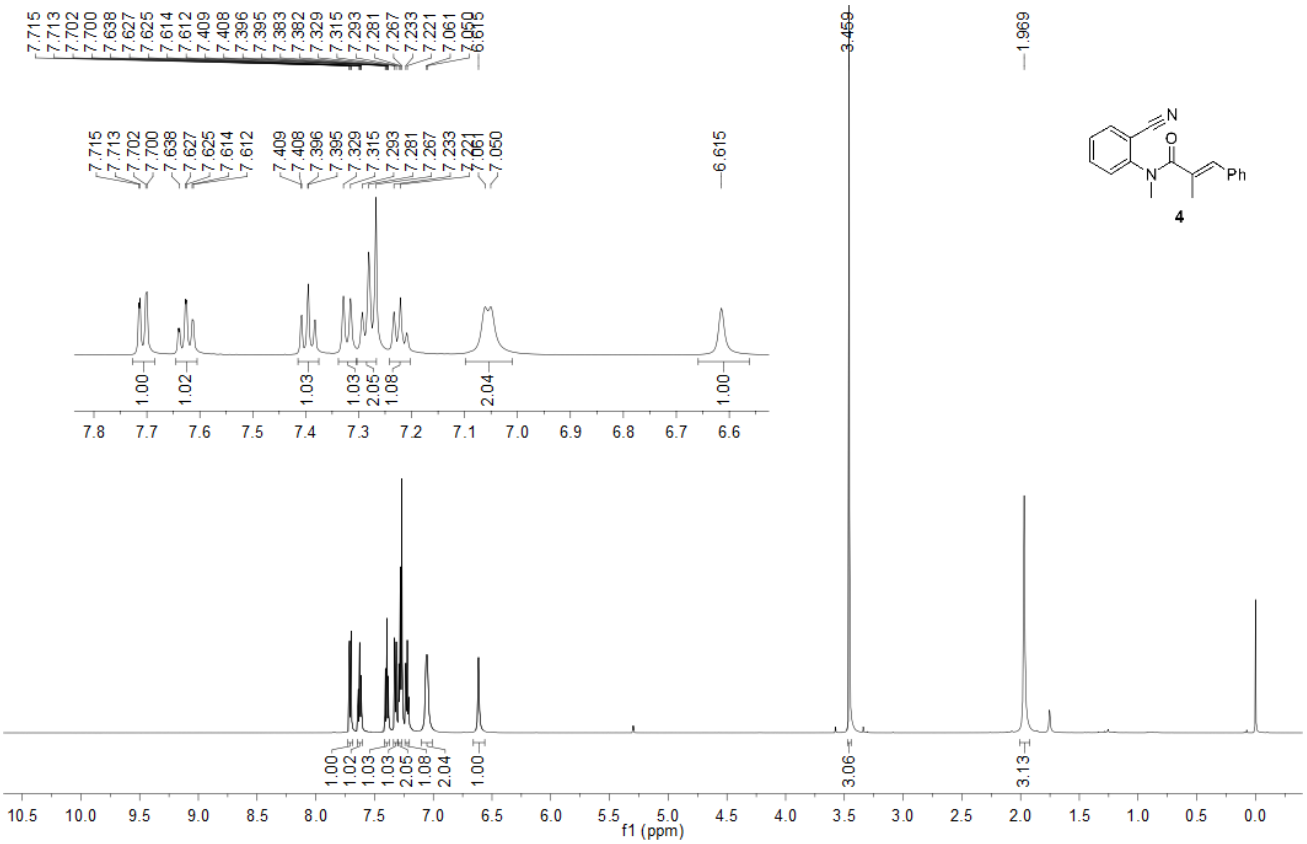
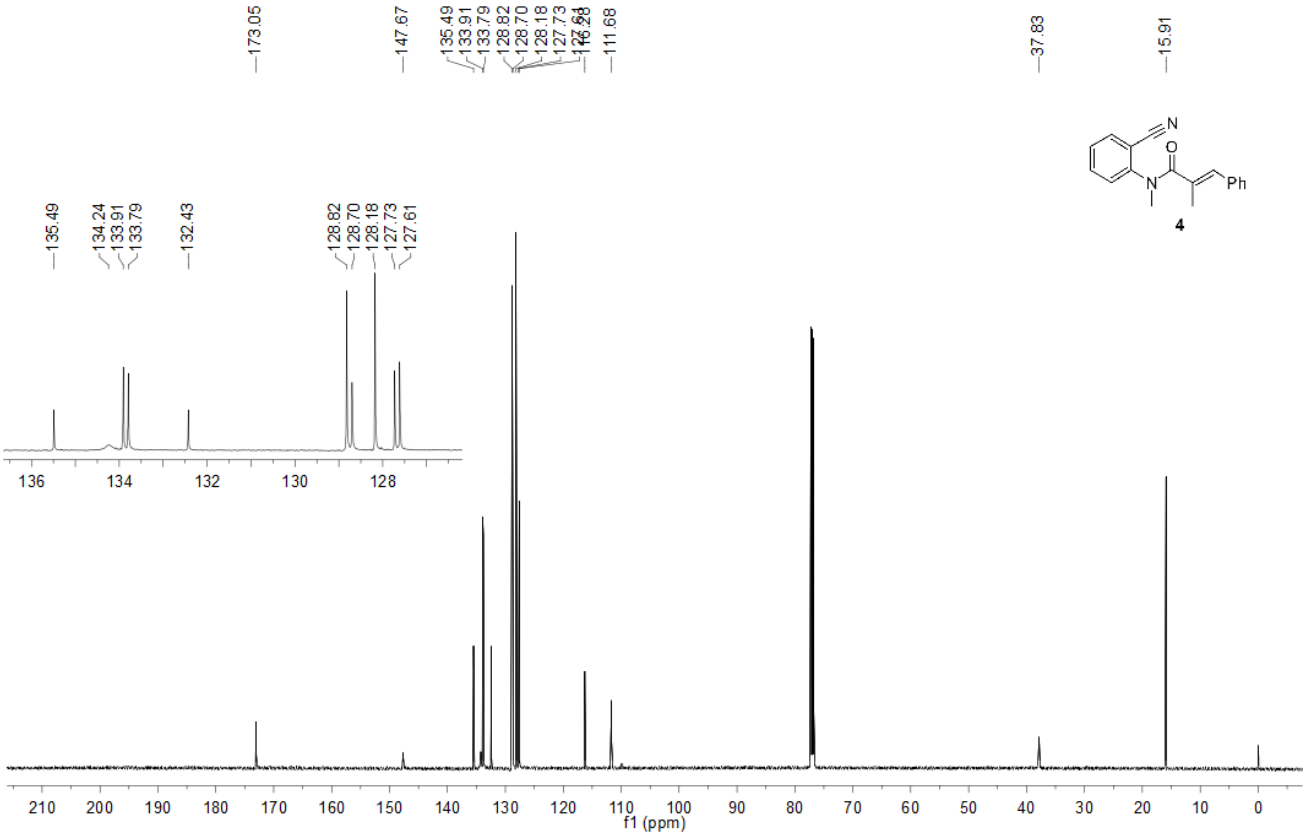


^{1}H NMR, 600 MHz, $CDCl_3$



^{13}C NMR, 151 MHz, $CDCl_3$



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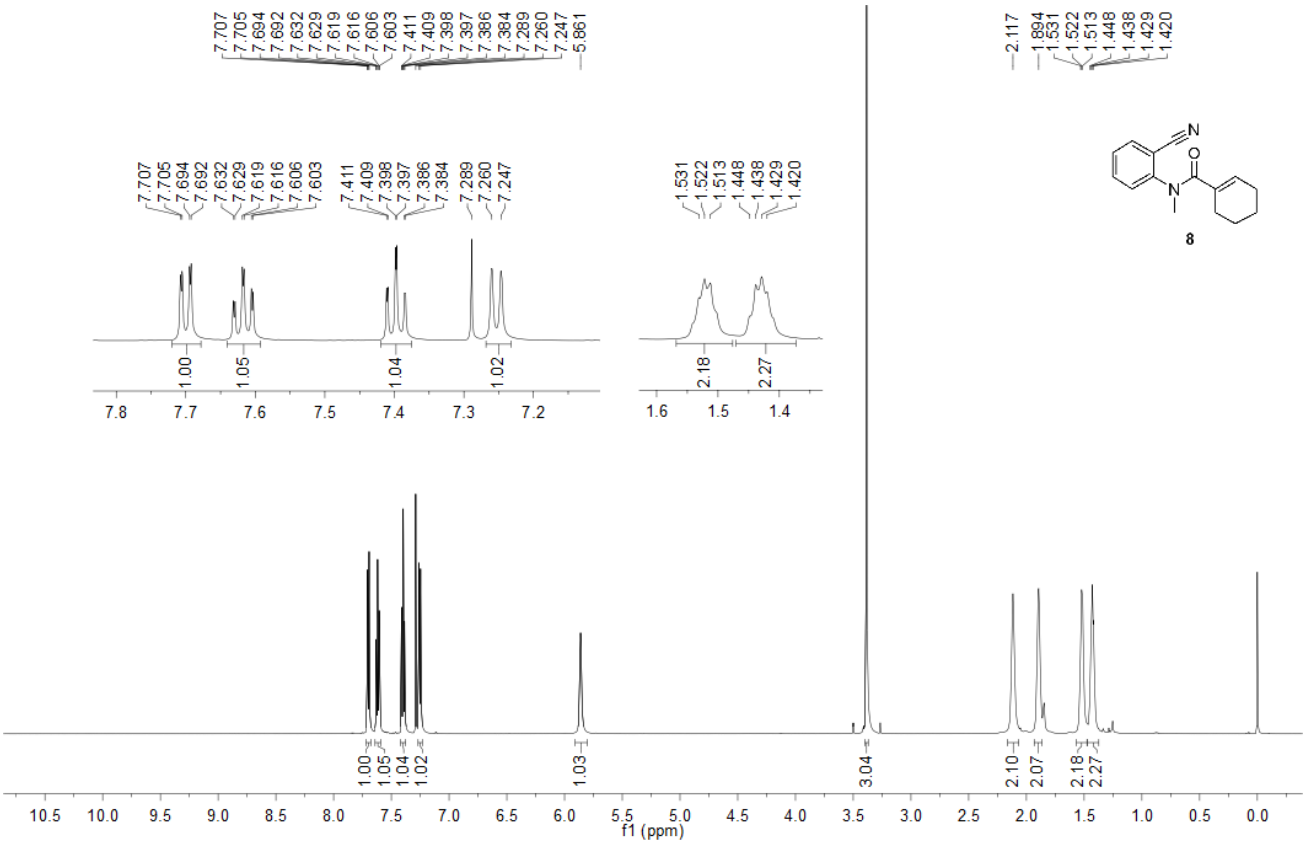
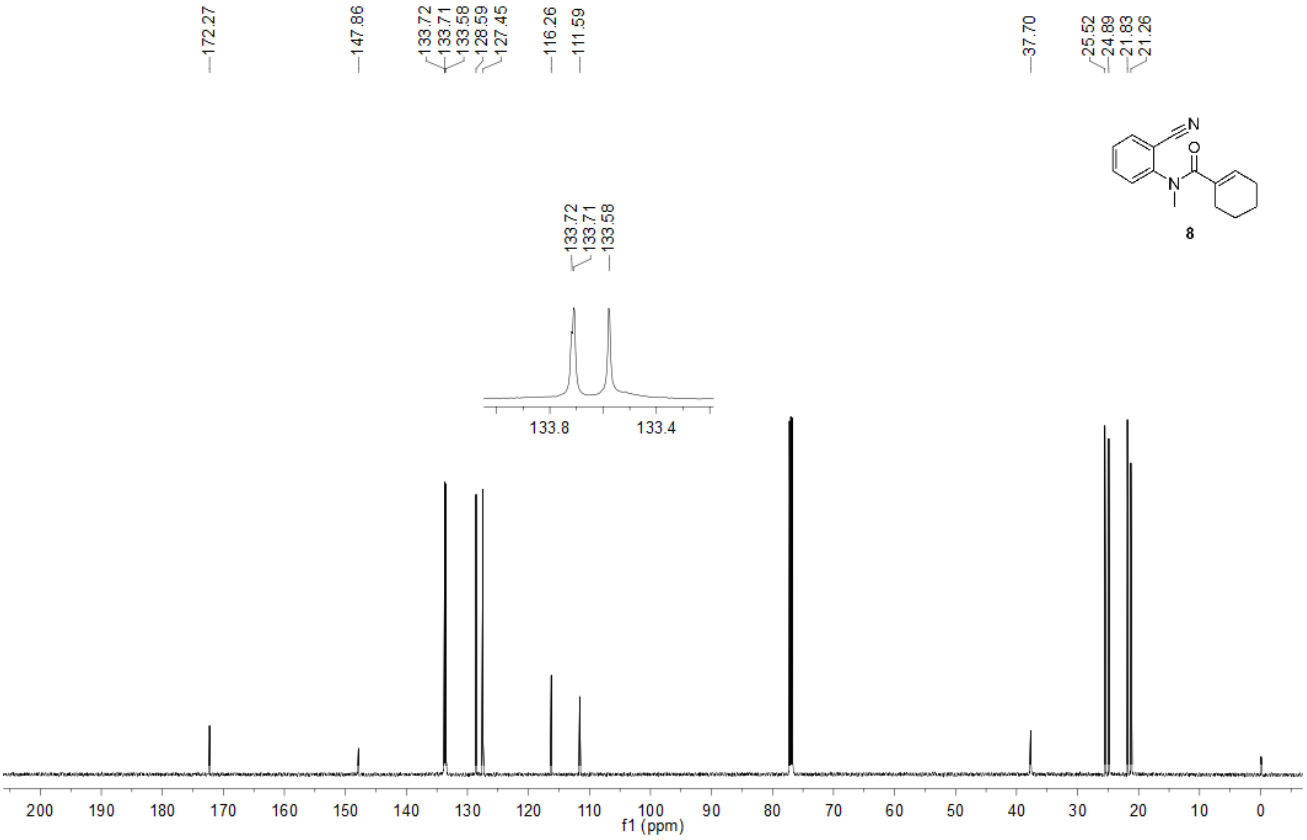
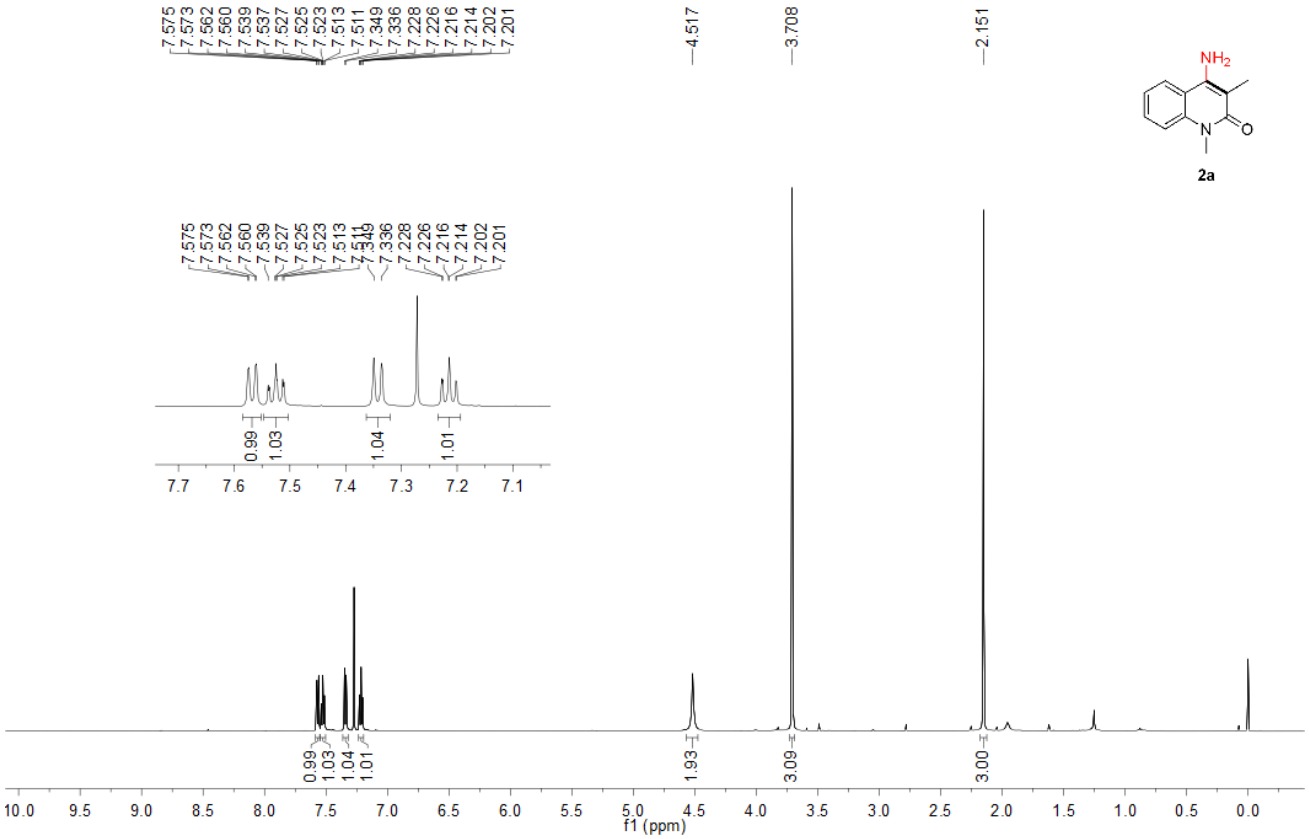


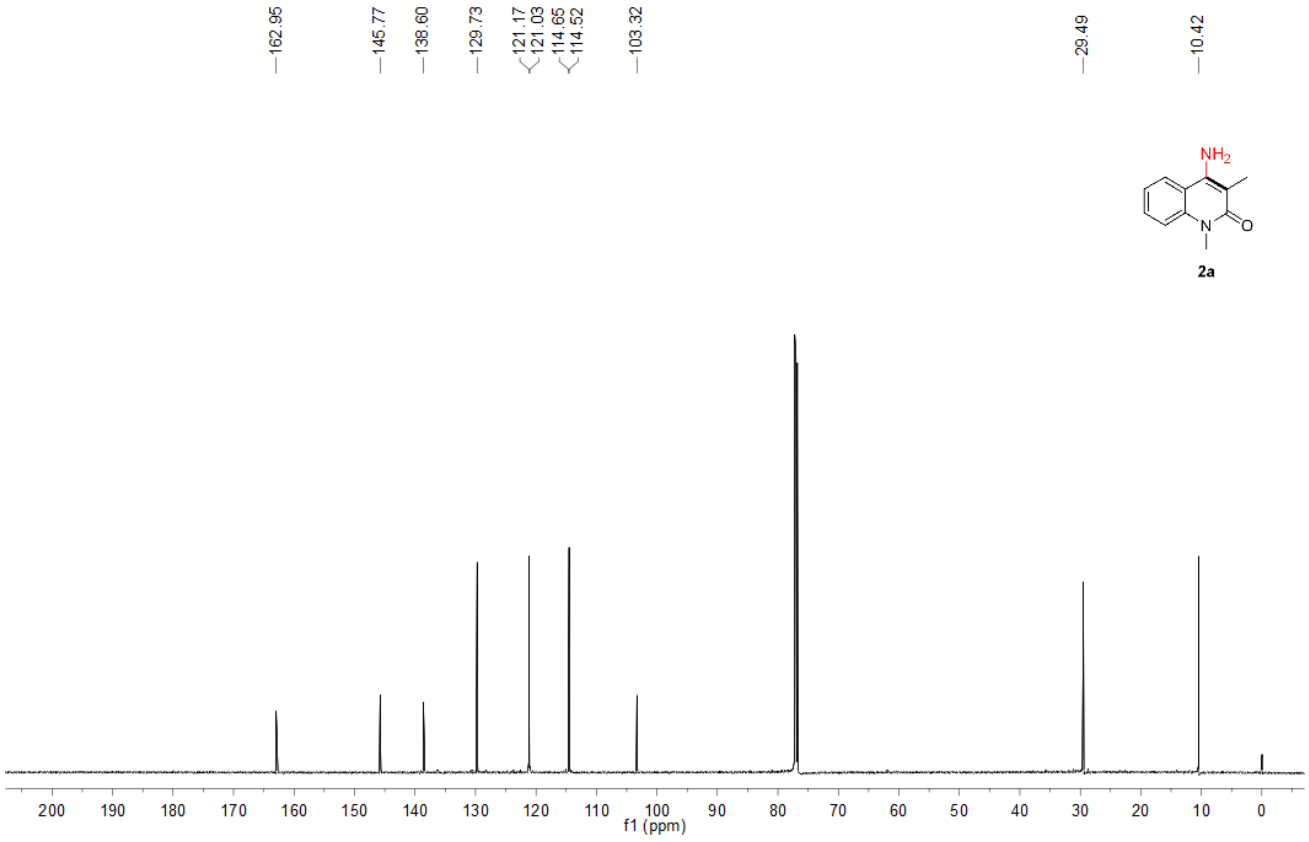
^{13}C NMR, 151 MHz, $CDCl_3$



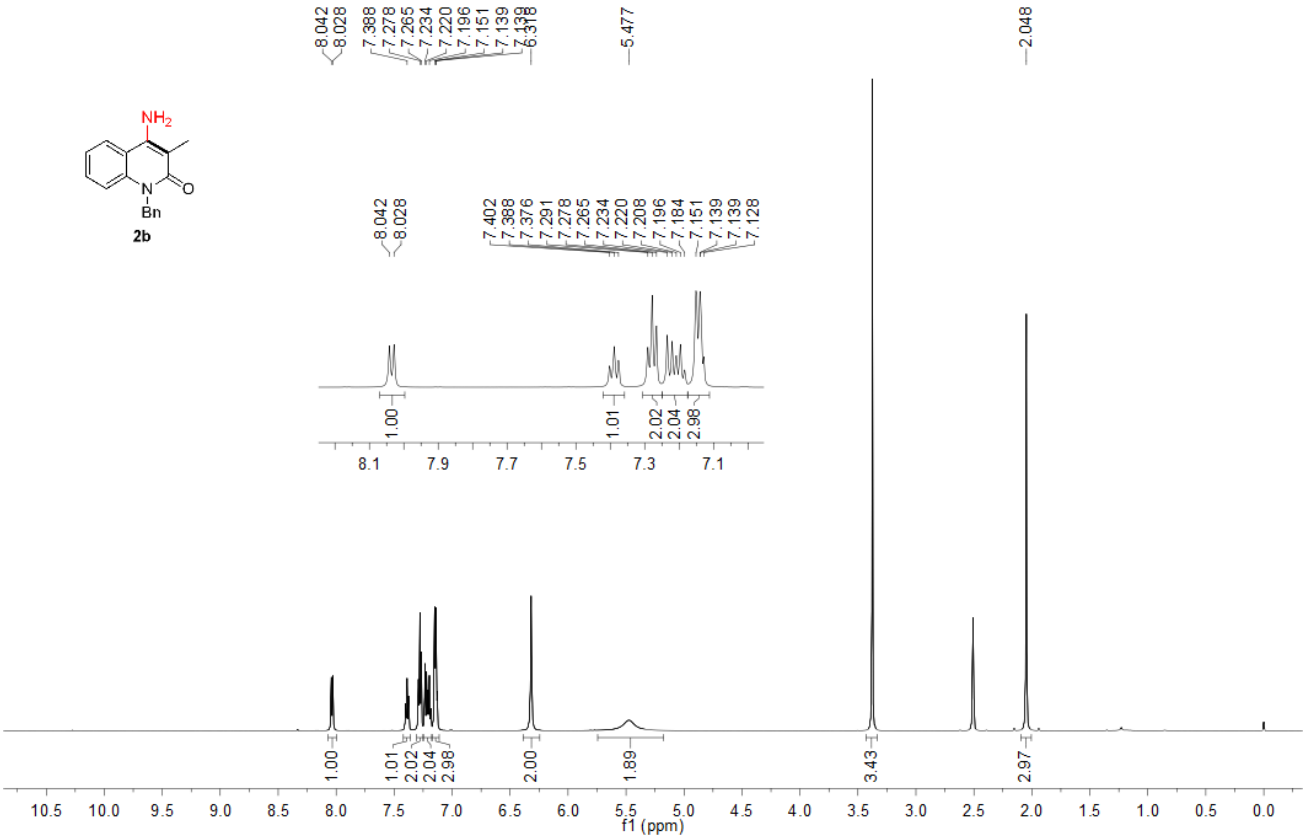
^{1}H NMR, 600 MHz, $CDCl_3$



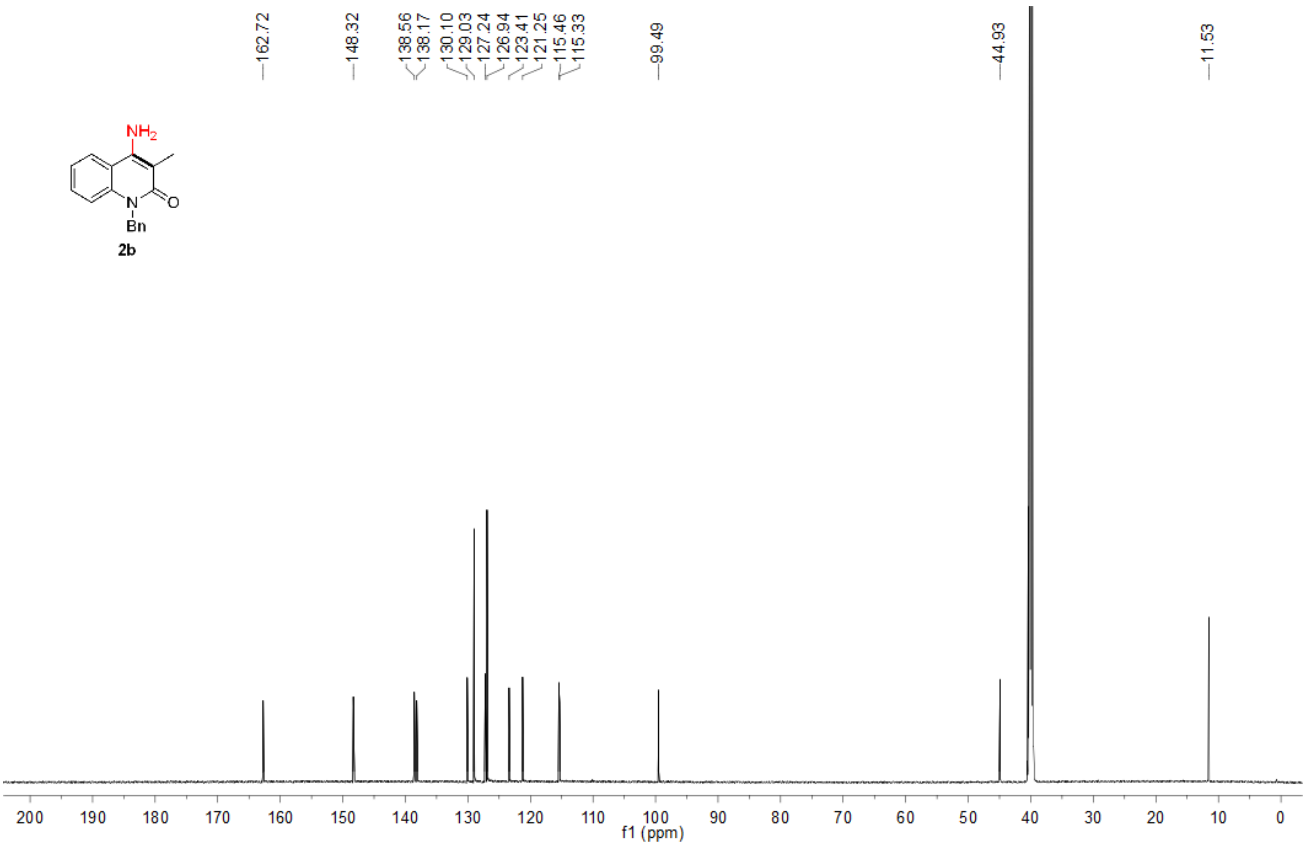
^{13}C NMR, 151 MHz, $CDCl_3$



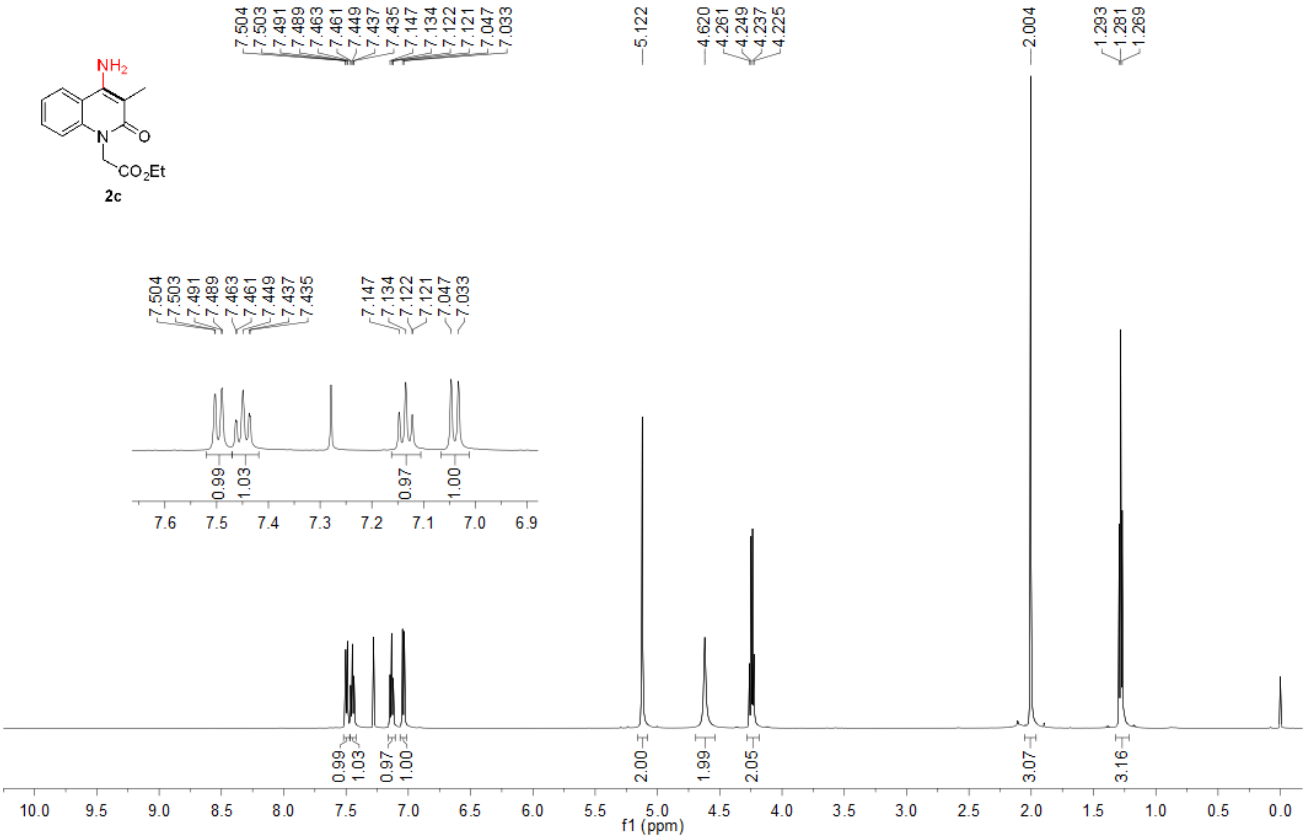
^{1}H NMR, 600 MHz, DMSO-d_6



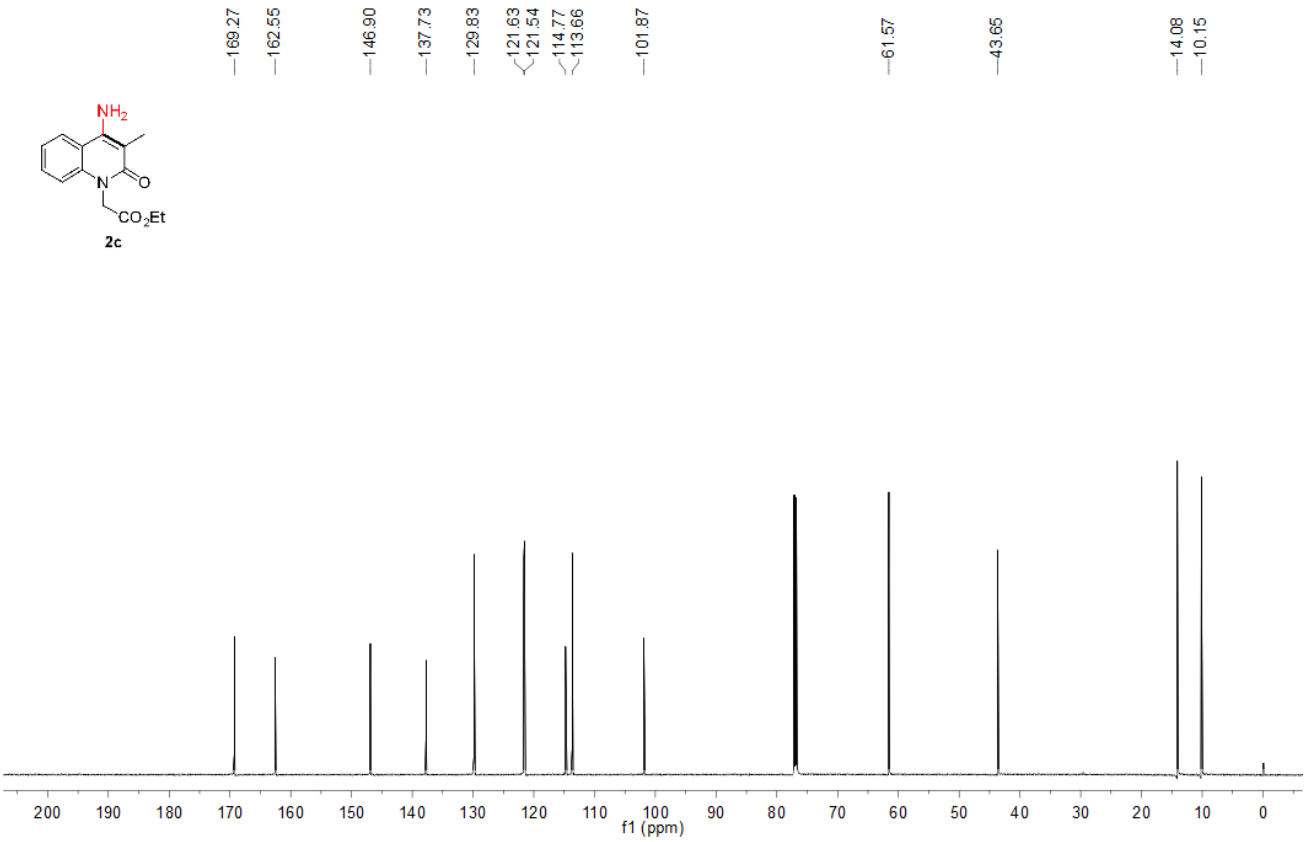
^{13}C NMR, 151 MHz, DMSO-d_6



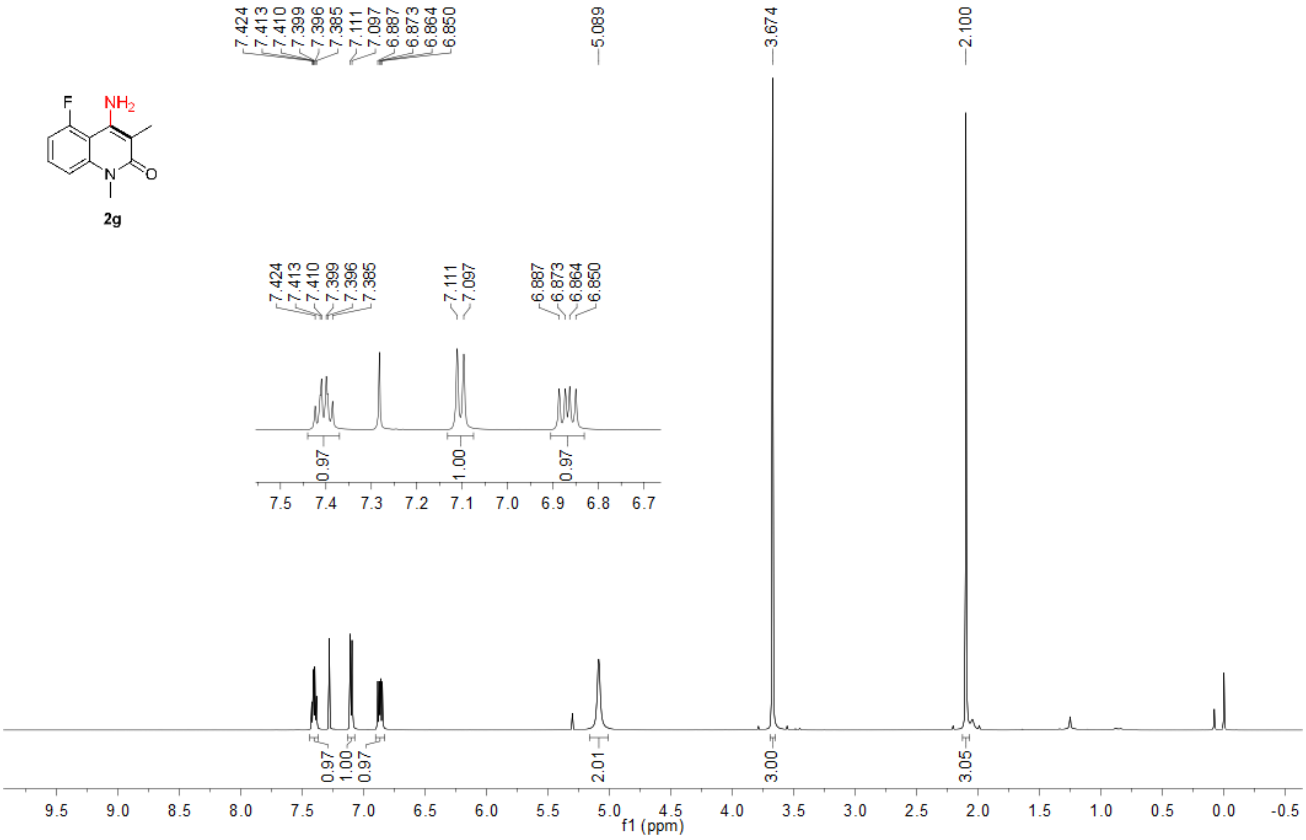
^{1}H NMR, 600 MHz, $CDCl_3$



^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



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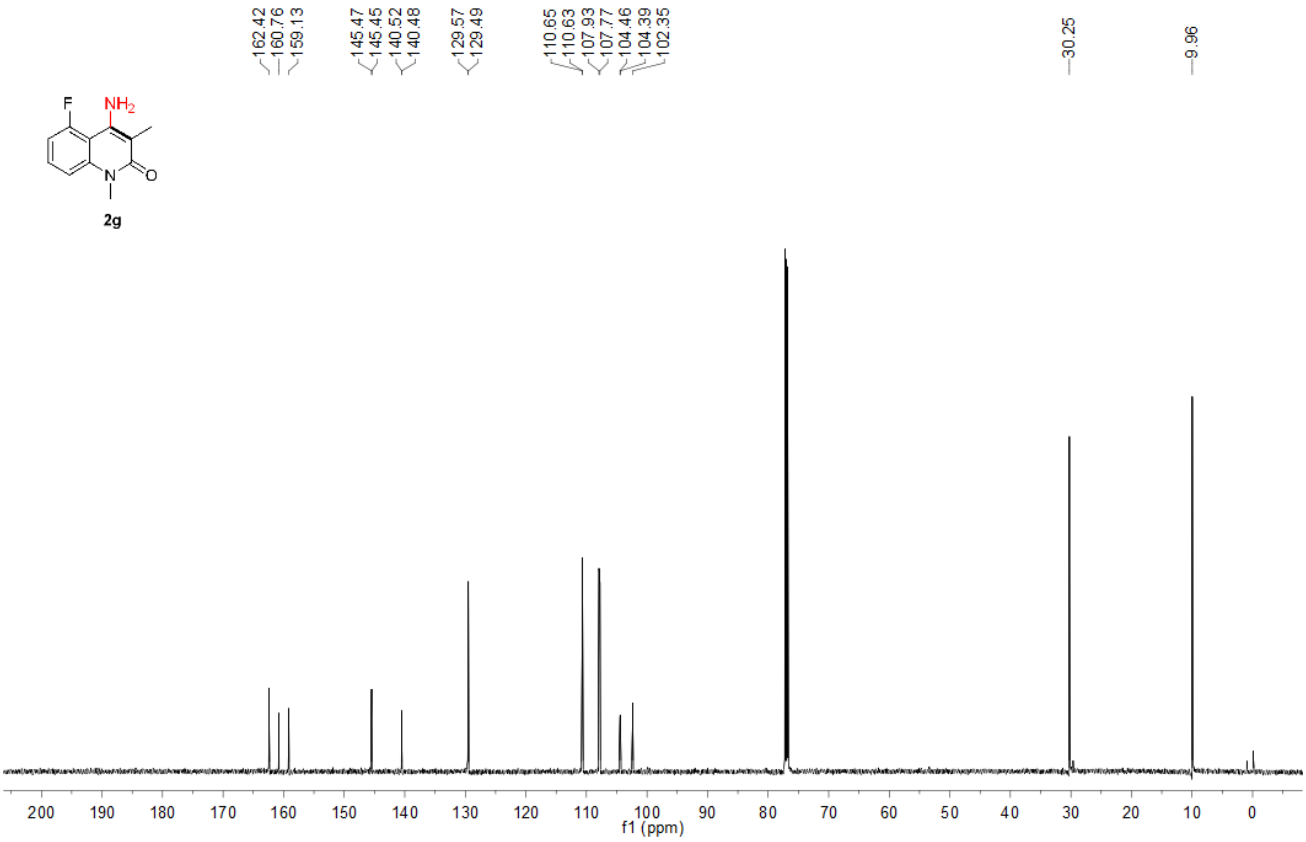

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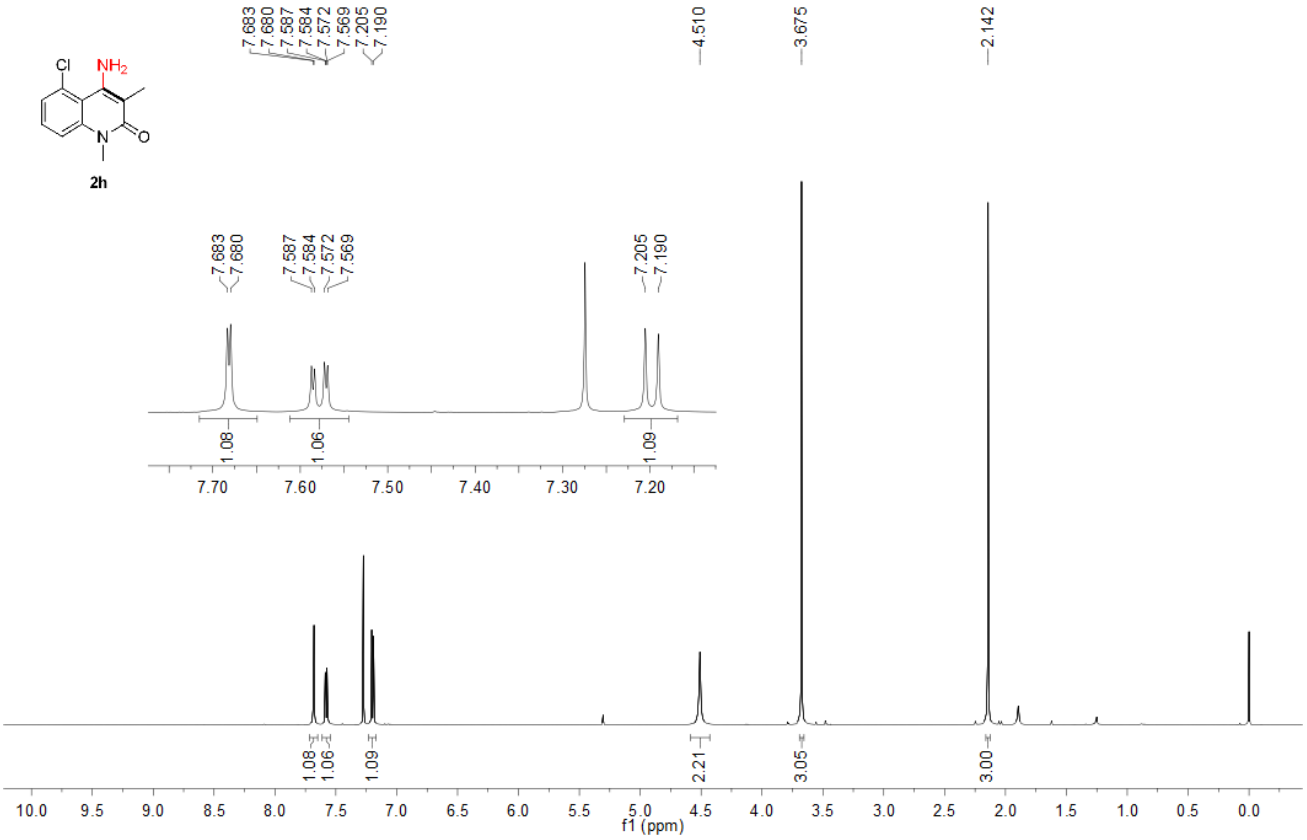

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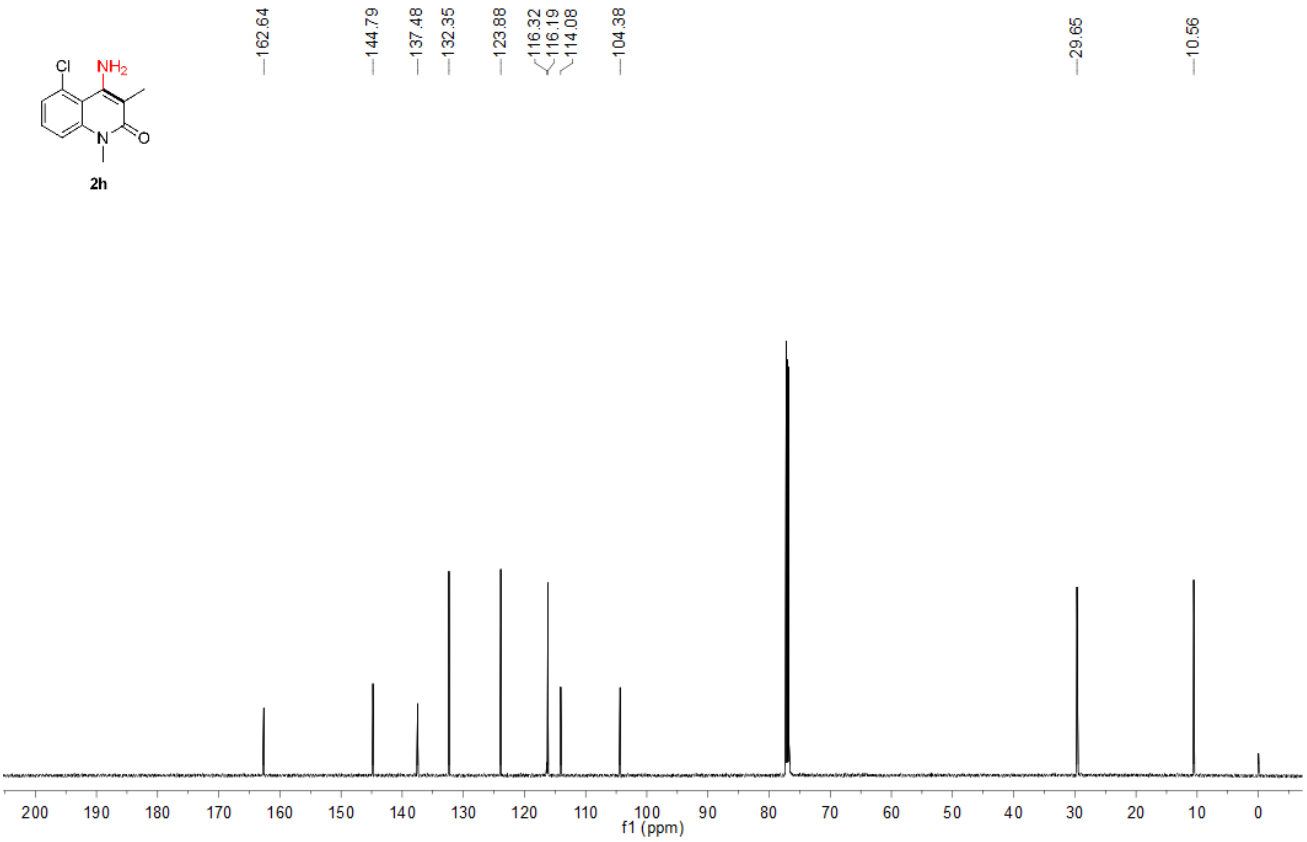
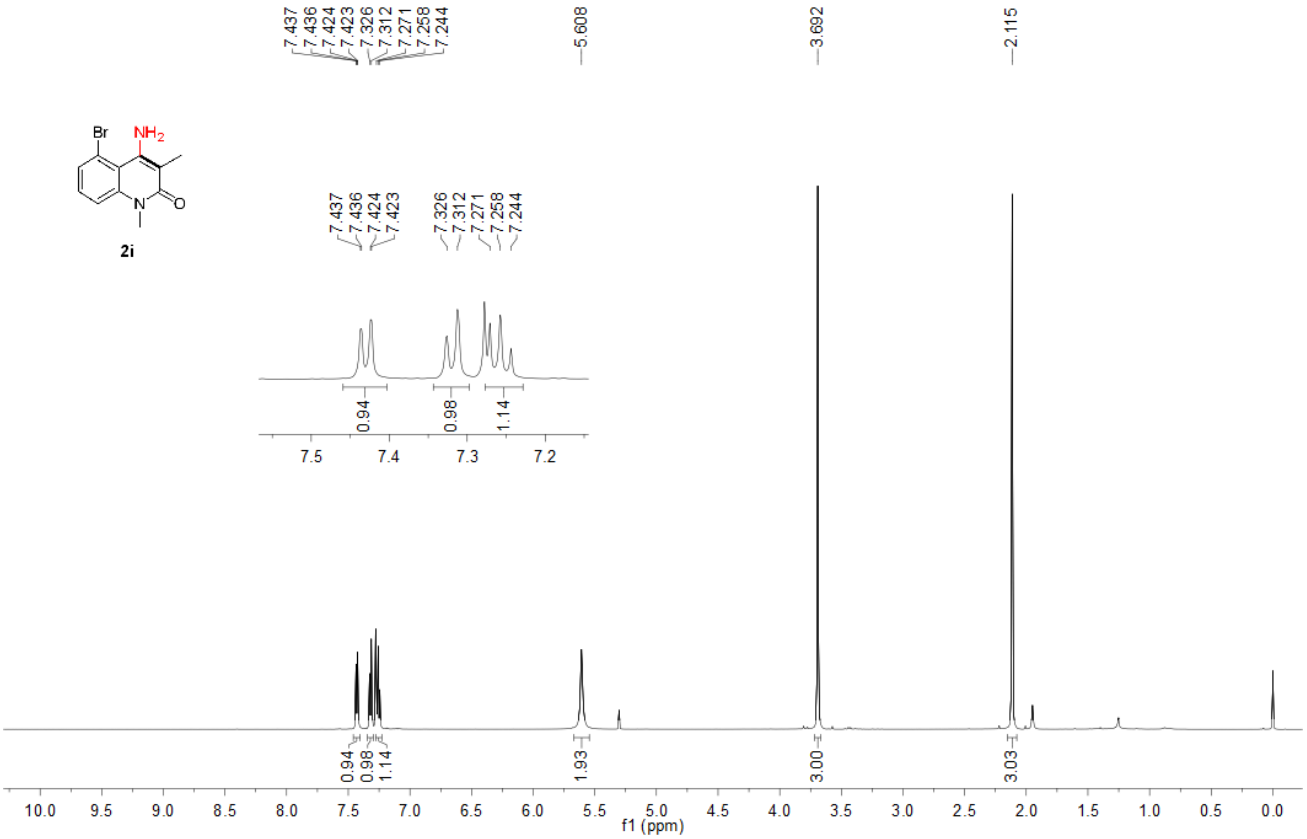


^{1}H NMR, 600 MHz, $CDCl_3$



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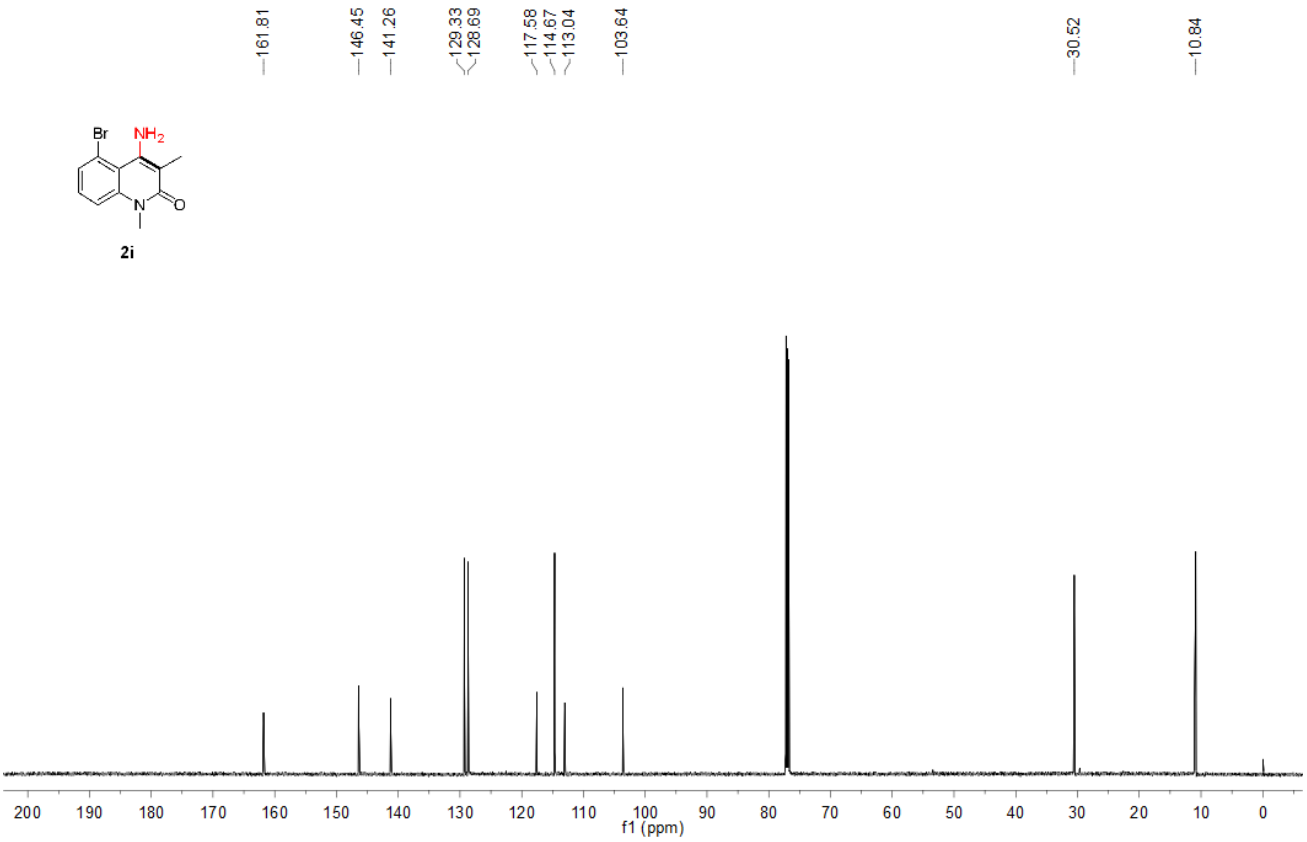

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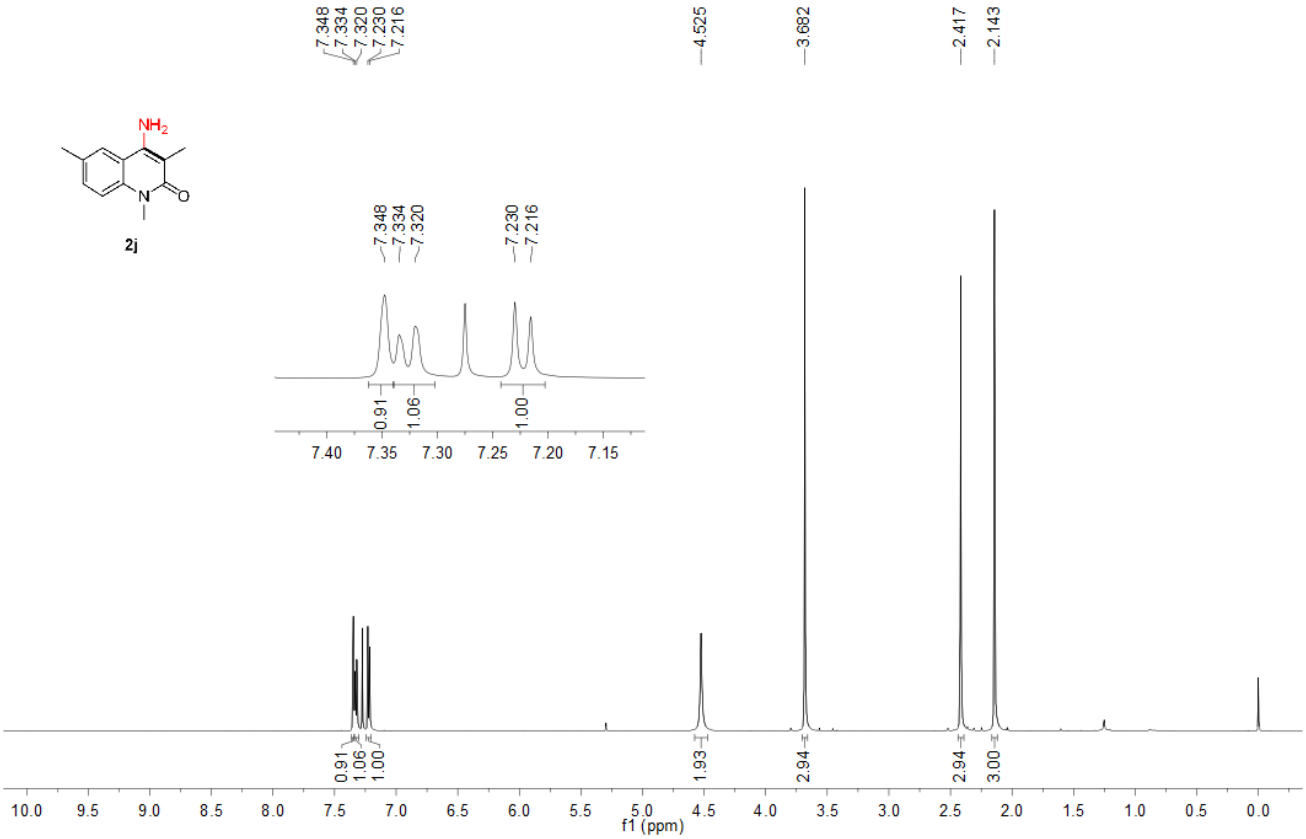

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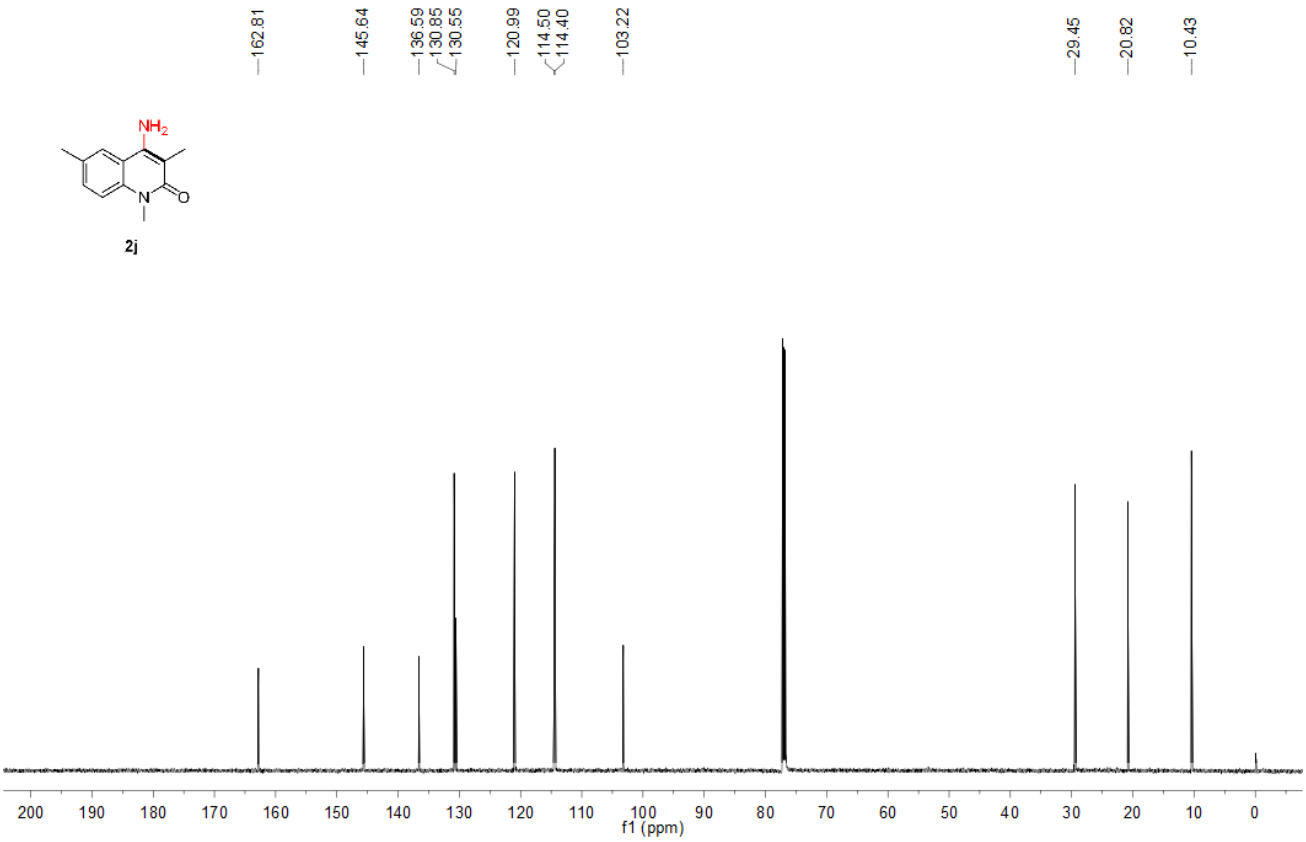

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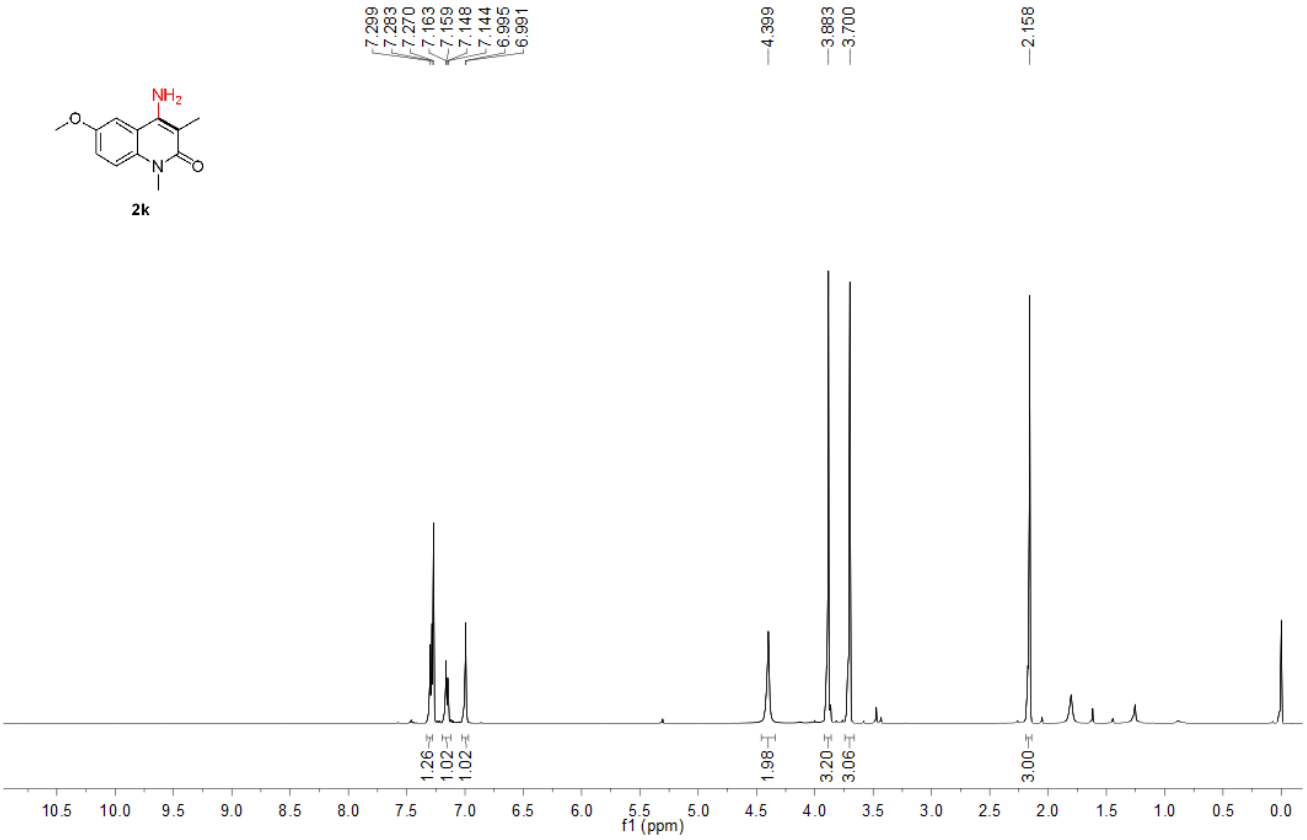

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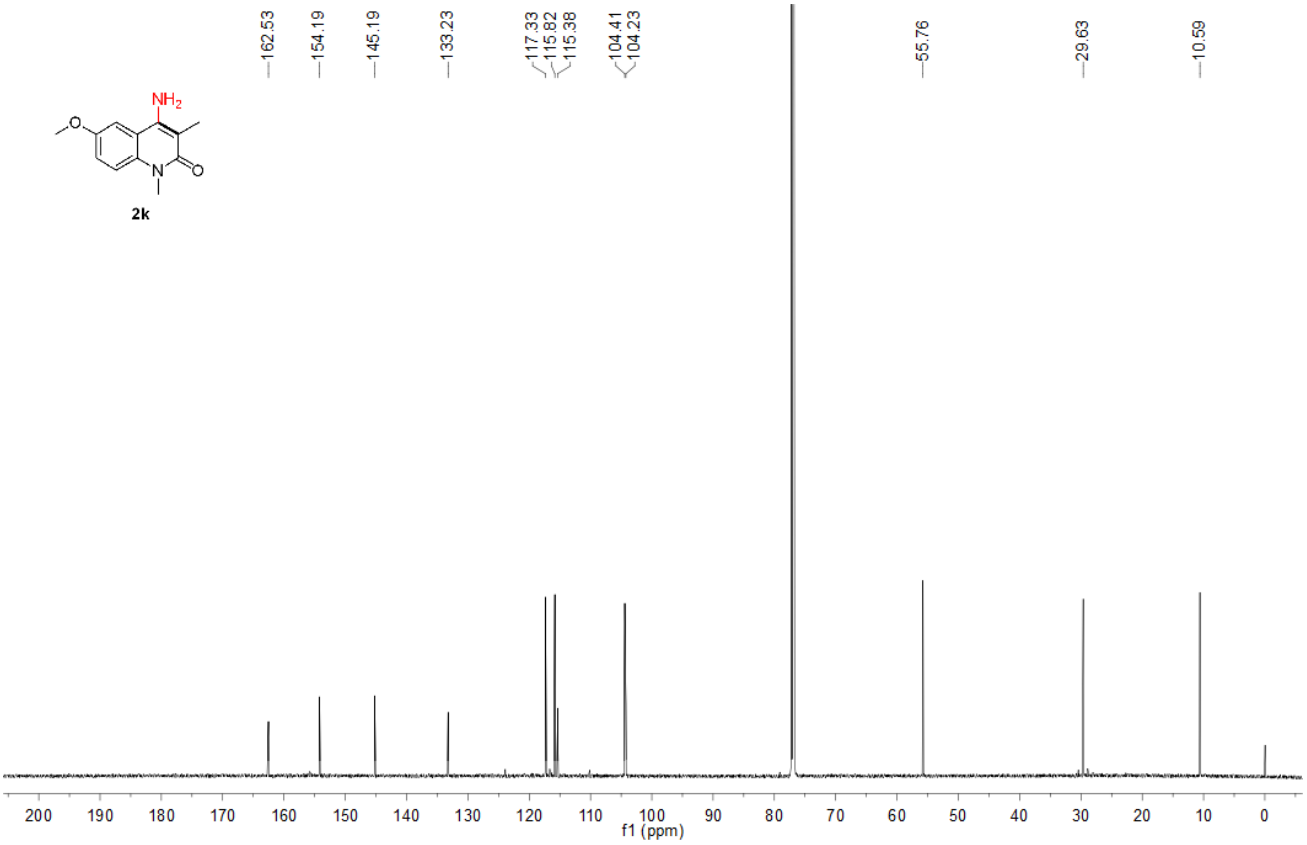
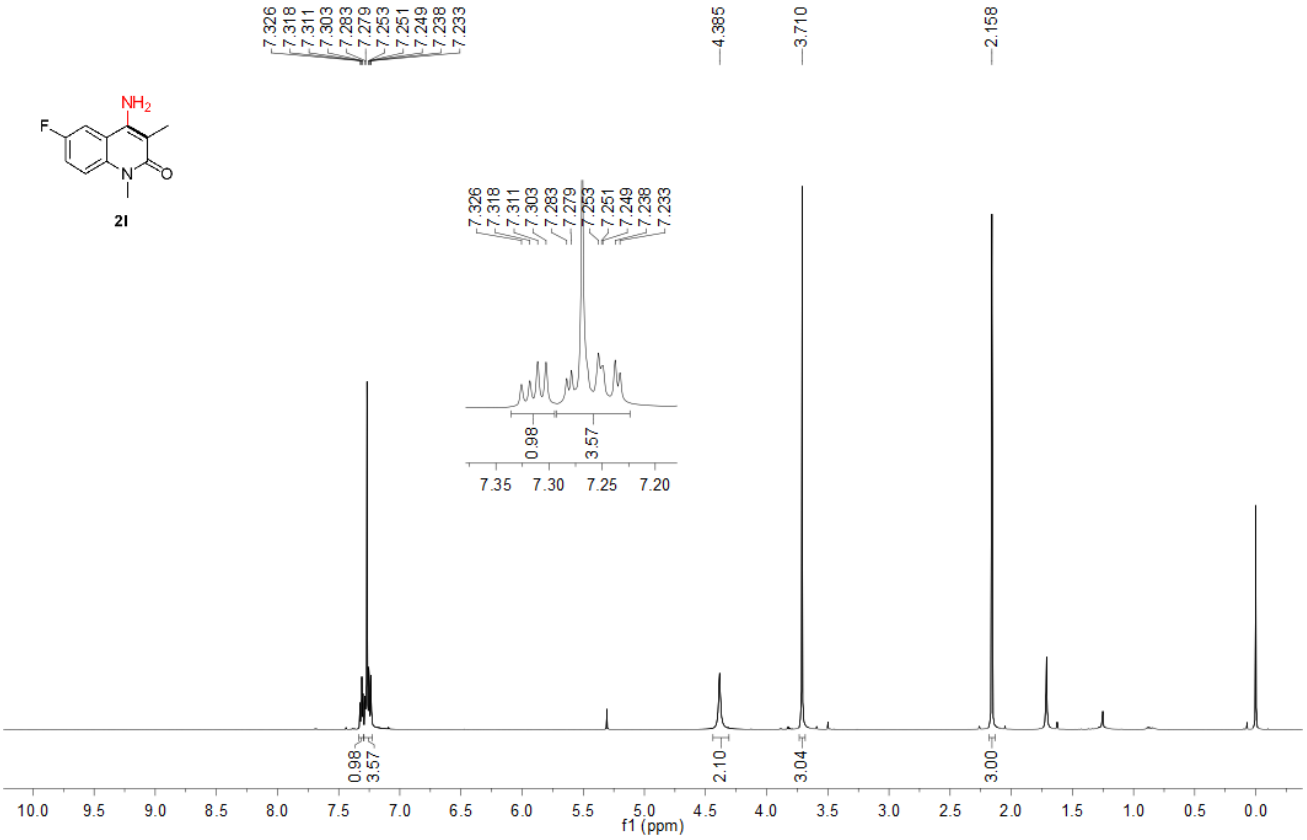
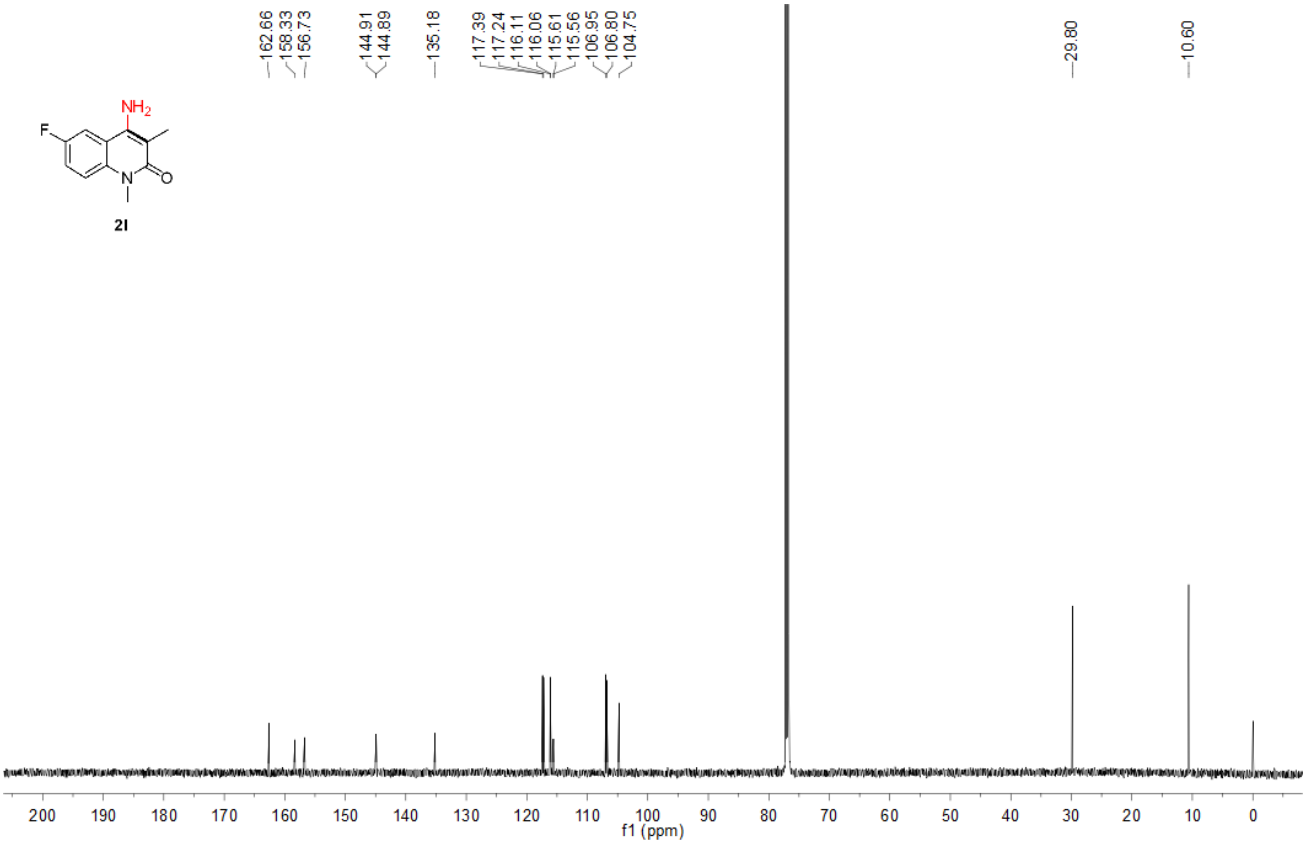


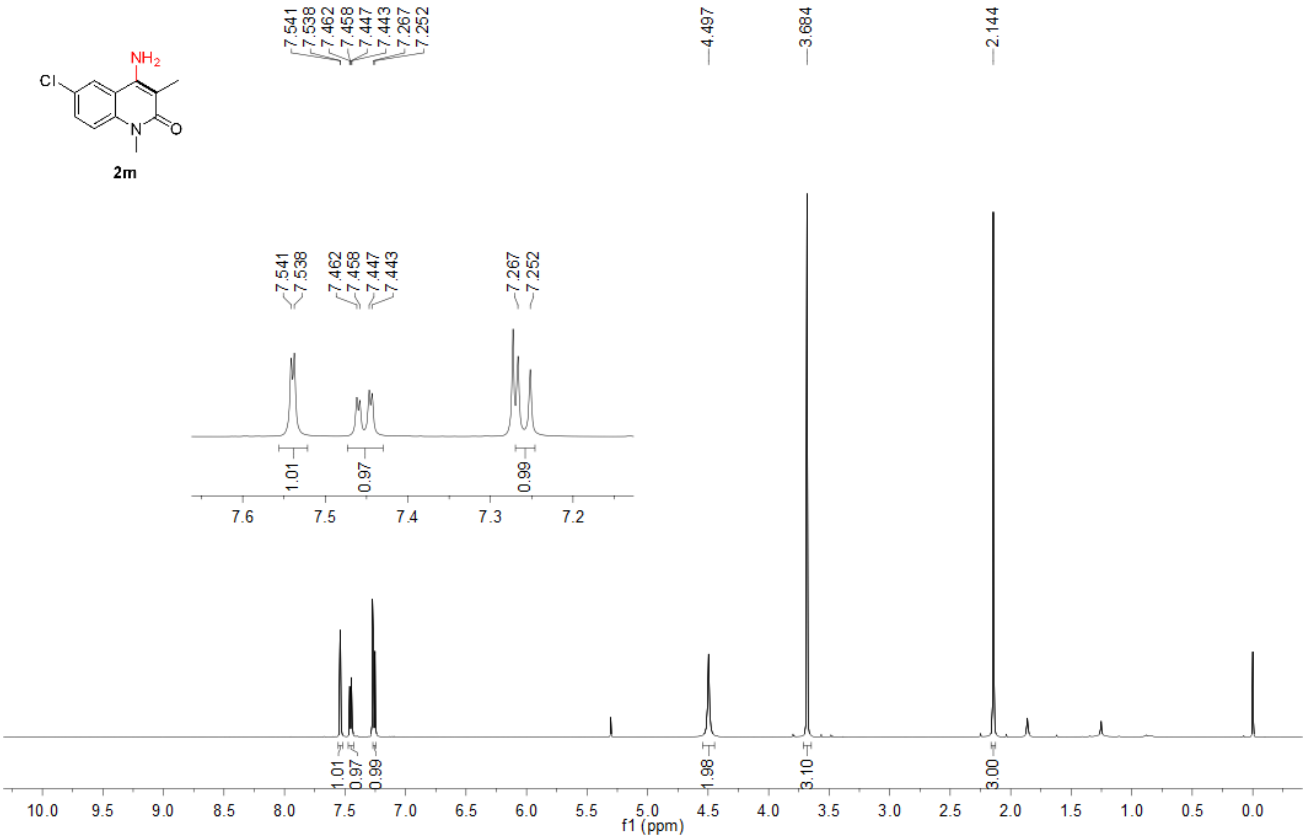
^{1}H NMR, 600 MHz, $CDCl_3$



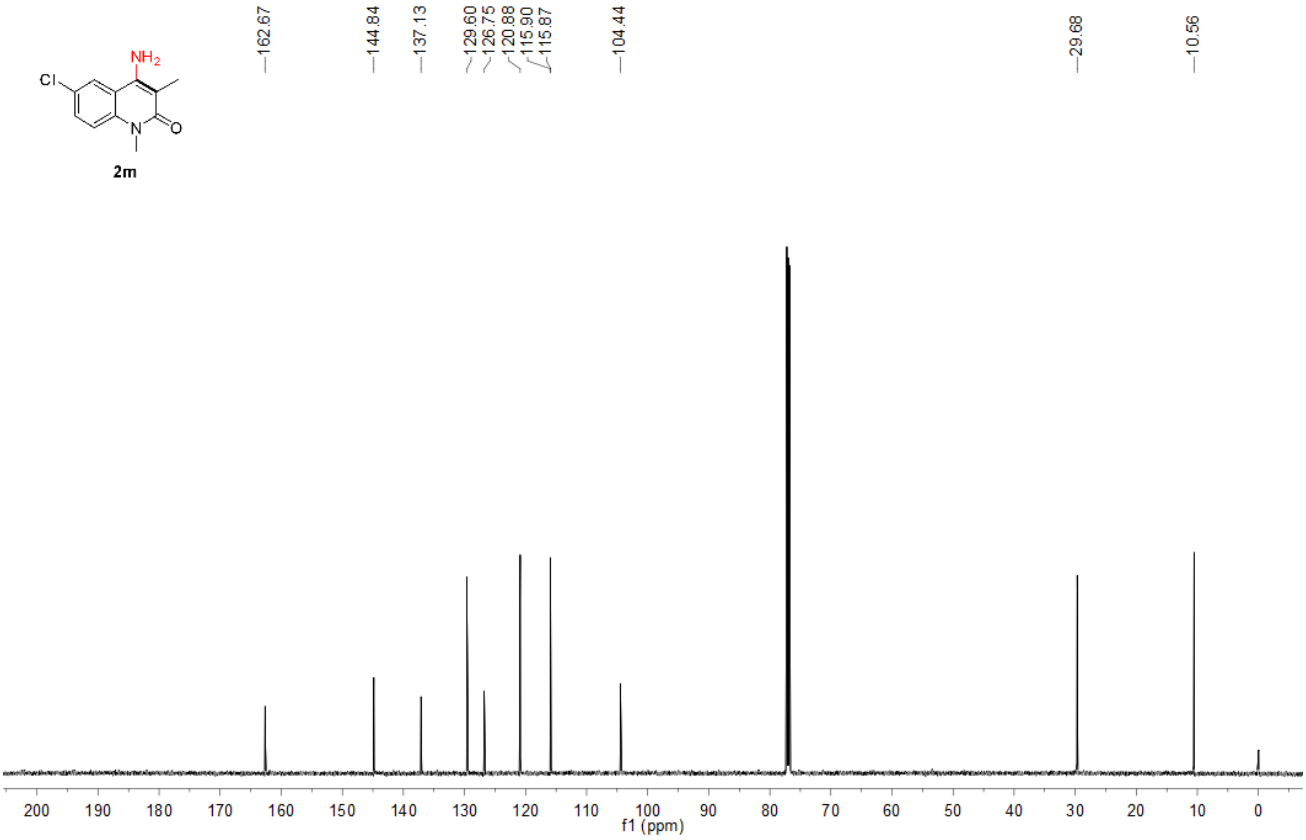
^{13}C NMR, 151 MHz, $CDCl_3$



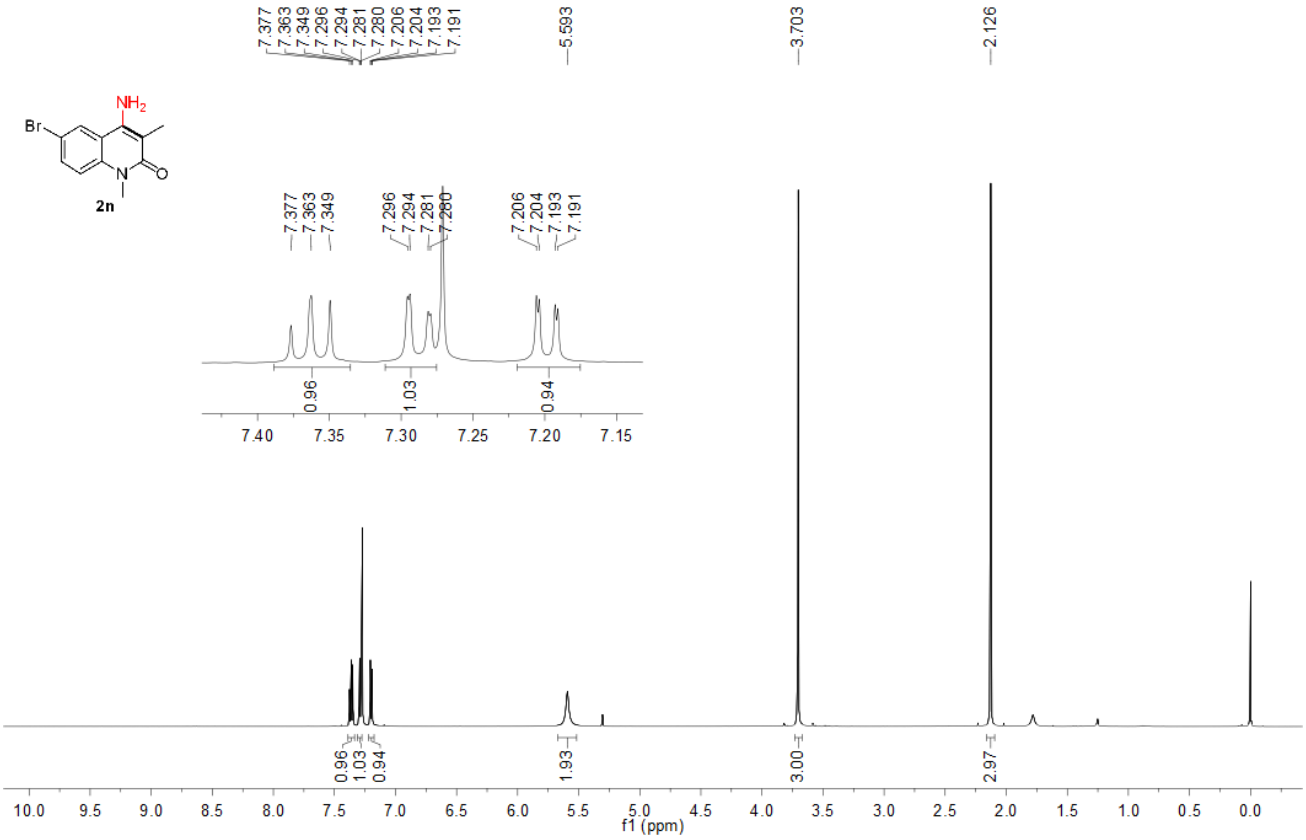
^{1}H NMR, 600 MHz, $CDCl_3$



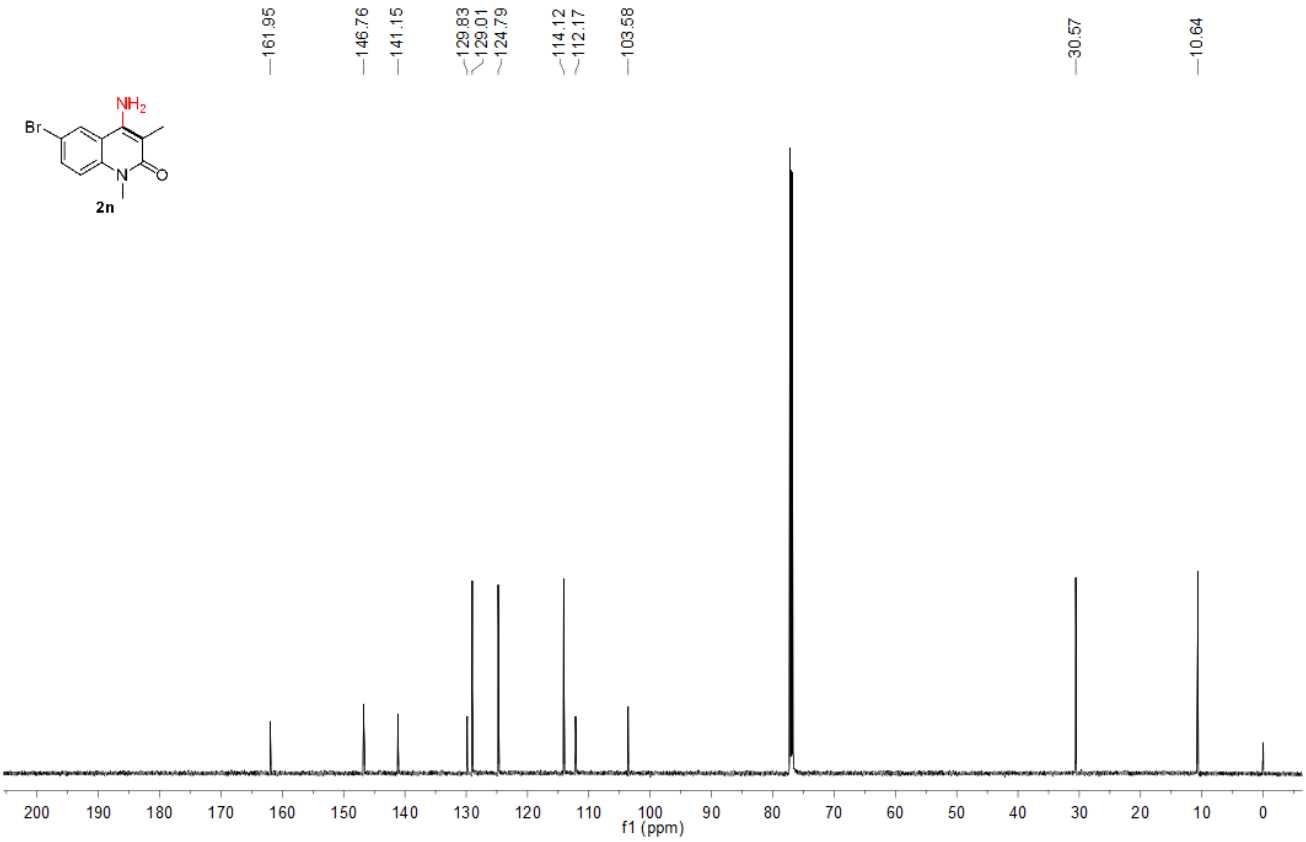
^{13}C NMR, 151 MHz, $CDCl_3$



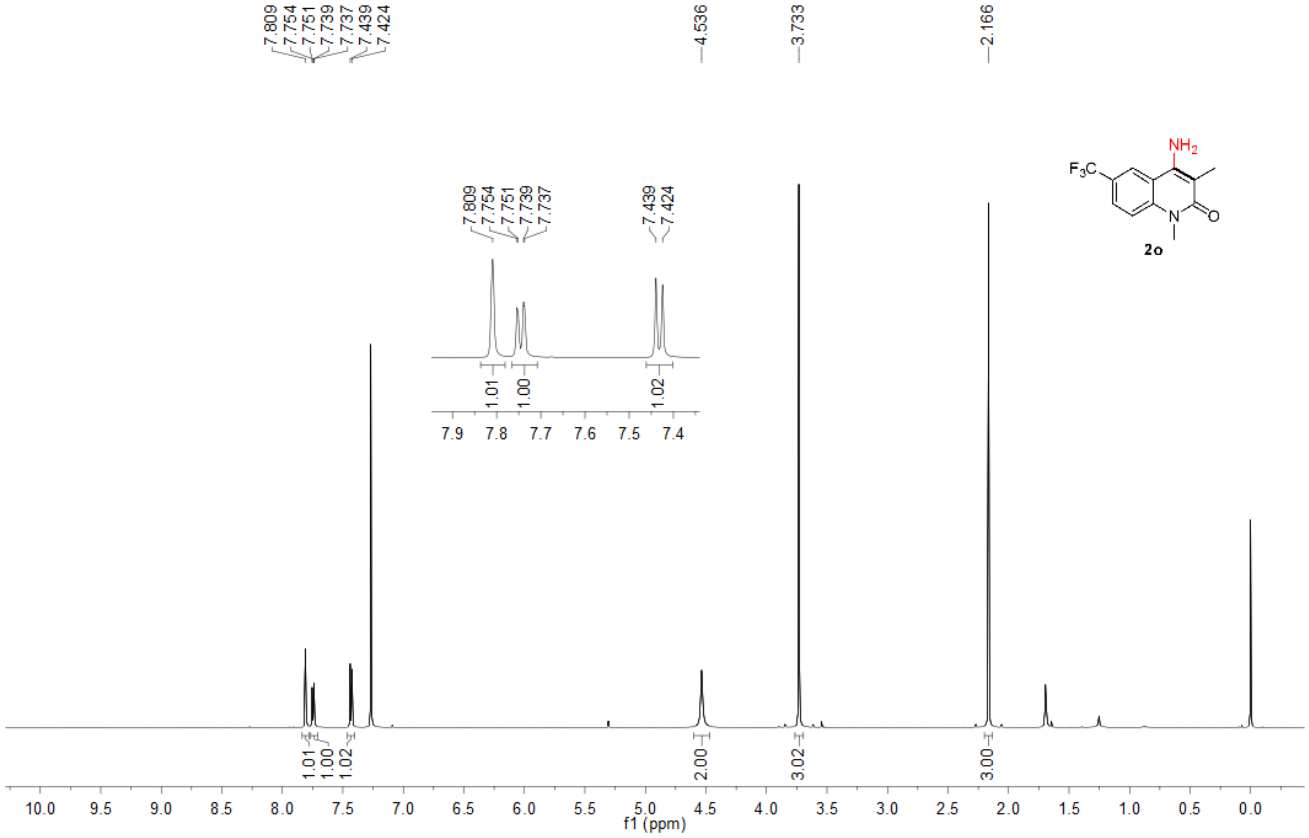
^{1}H NMR, 600 MHz, $CDCl_3$



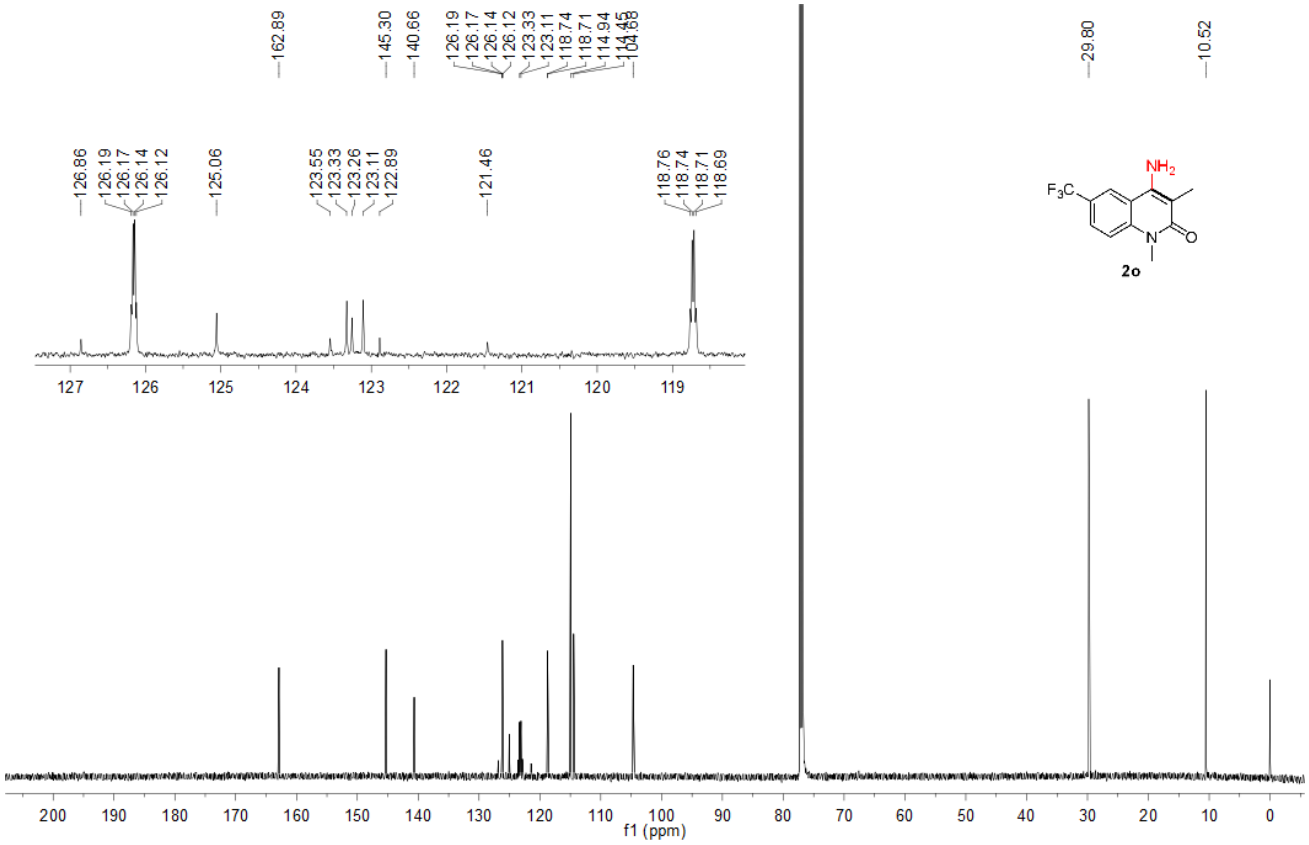
^{13}C NMR, 151 MHz, $CDCl_3$



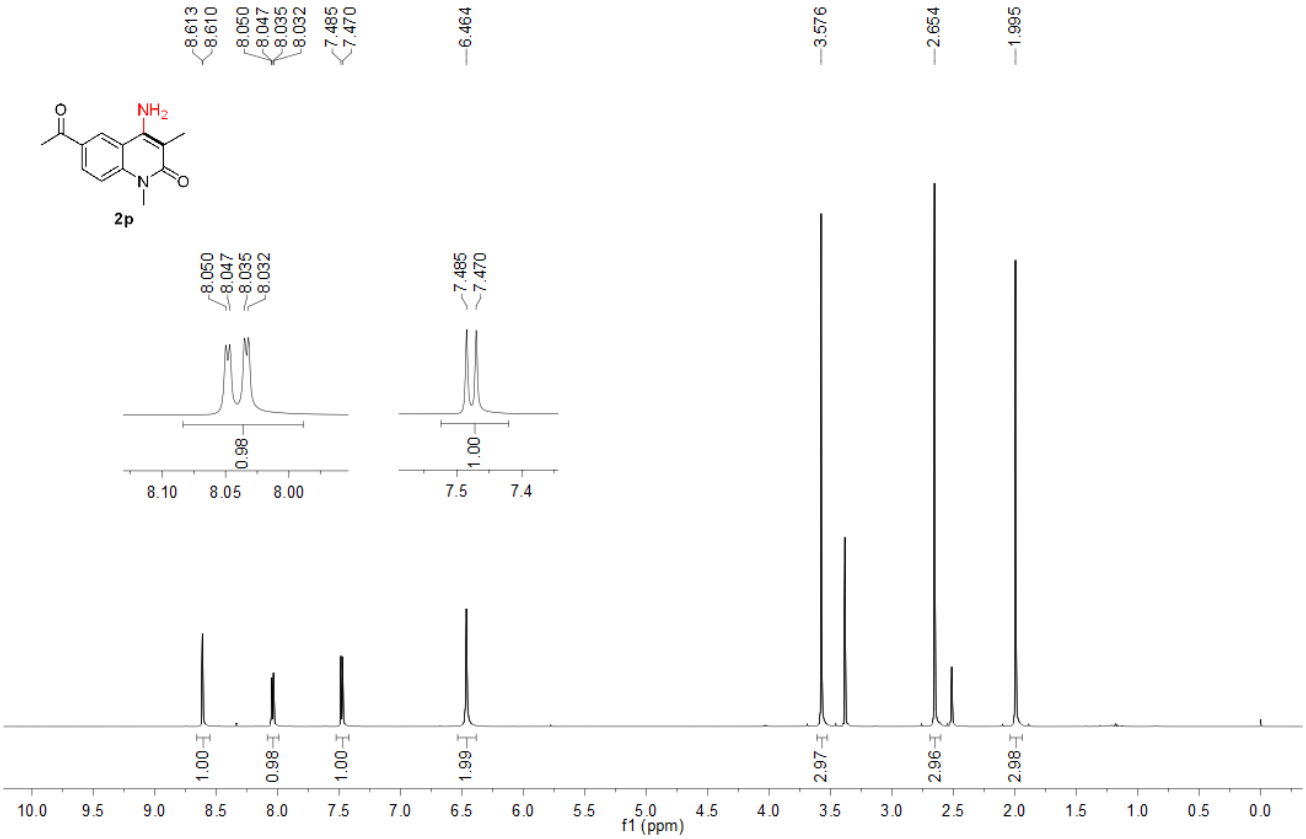
^{1}H NMR, 600 MHz, $CDCl_3$



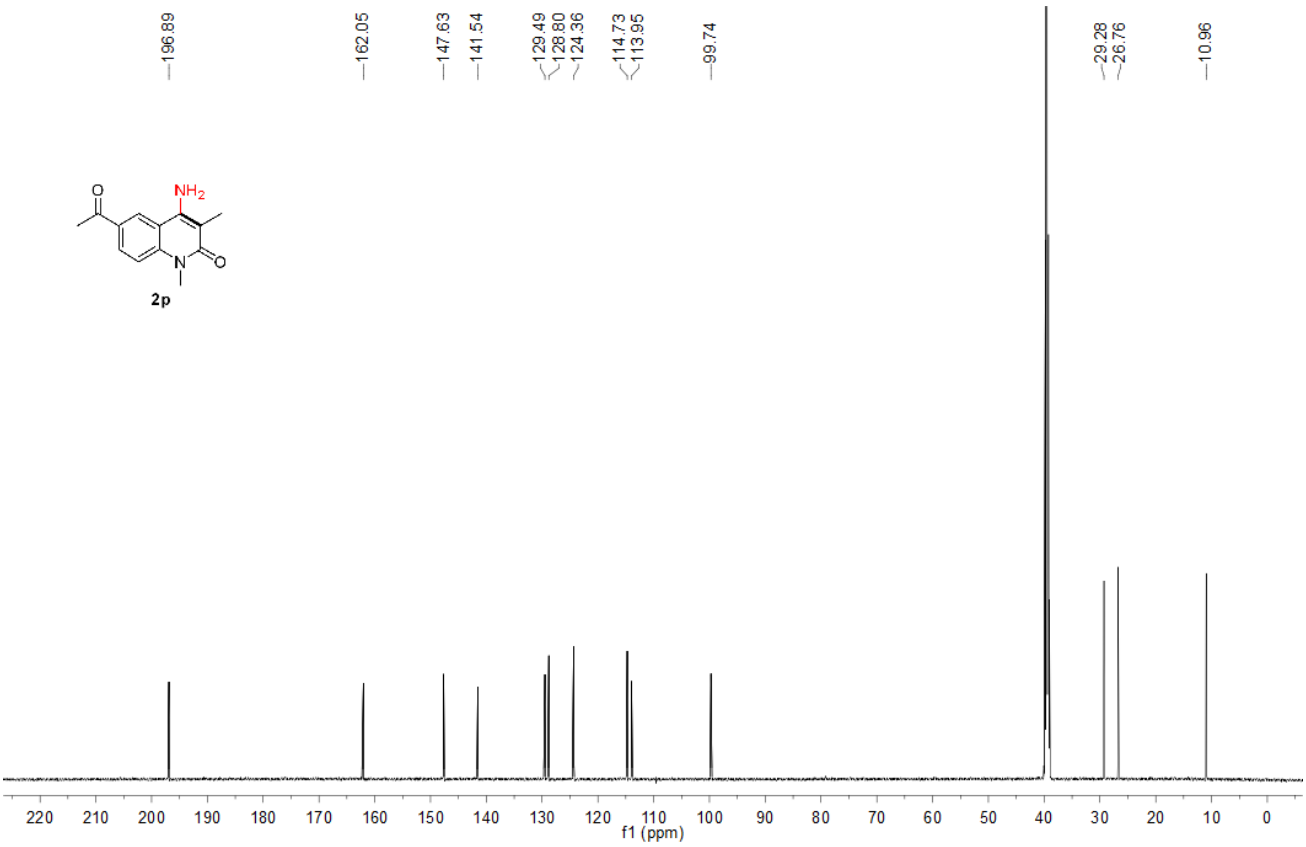
^{13}C NMR, 151 MHz, $CDCl_3$



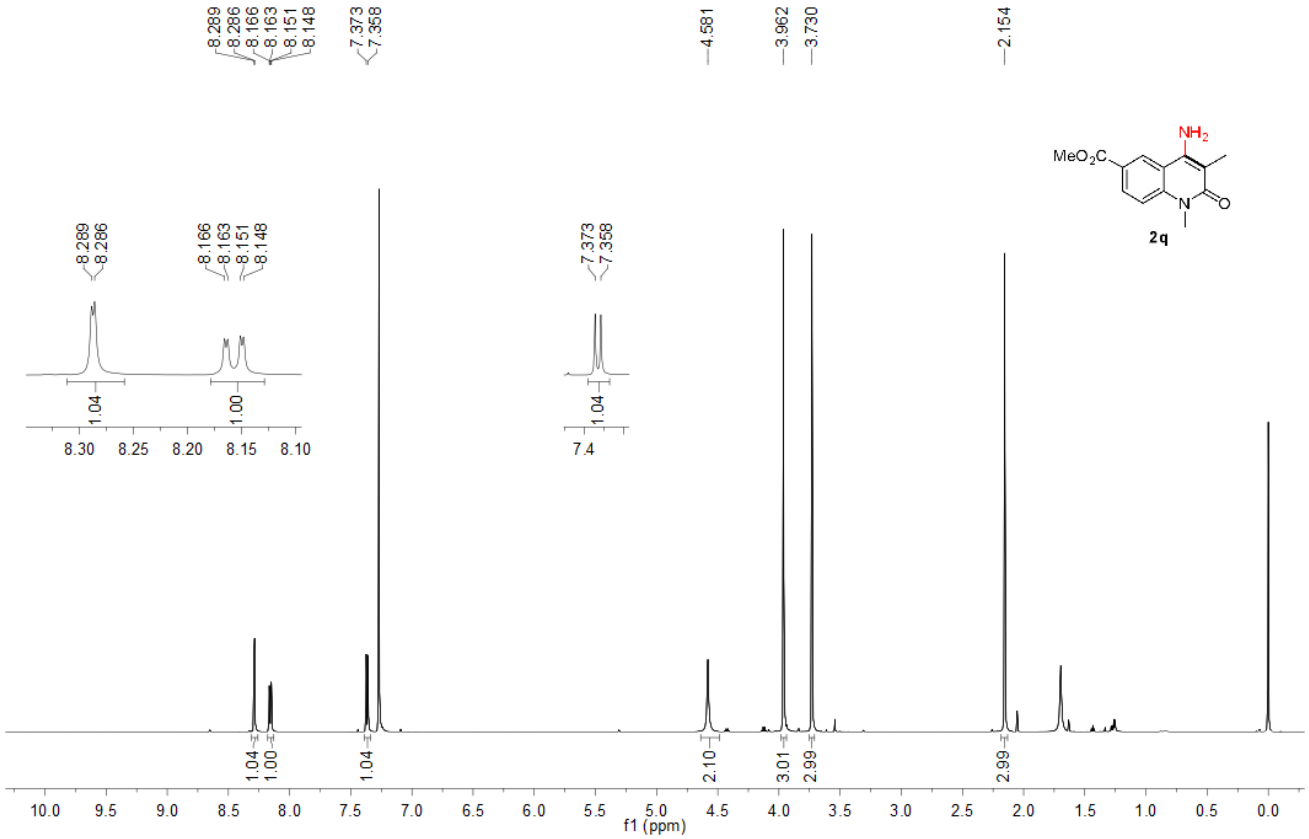
^{1}H NMR, 600 MHz, DMSO-d_6



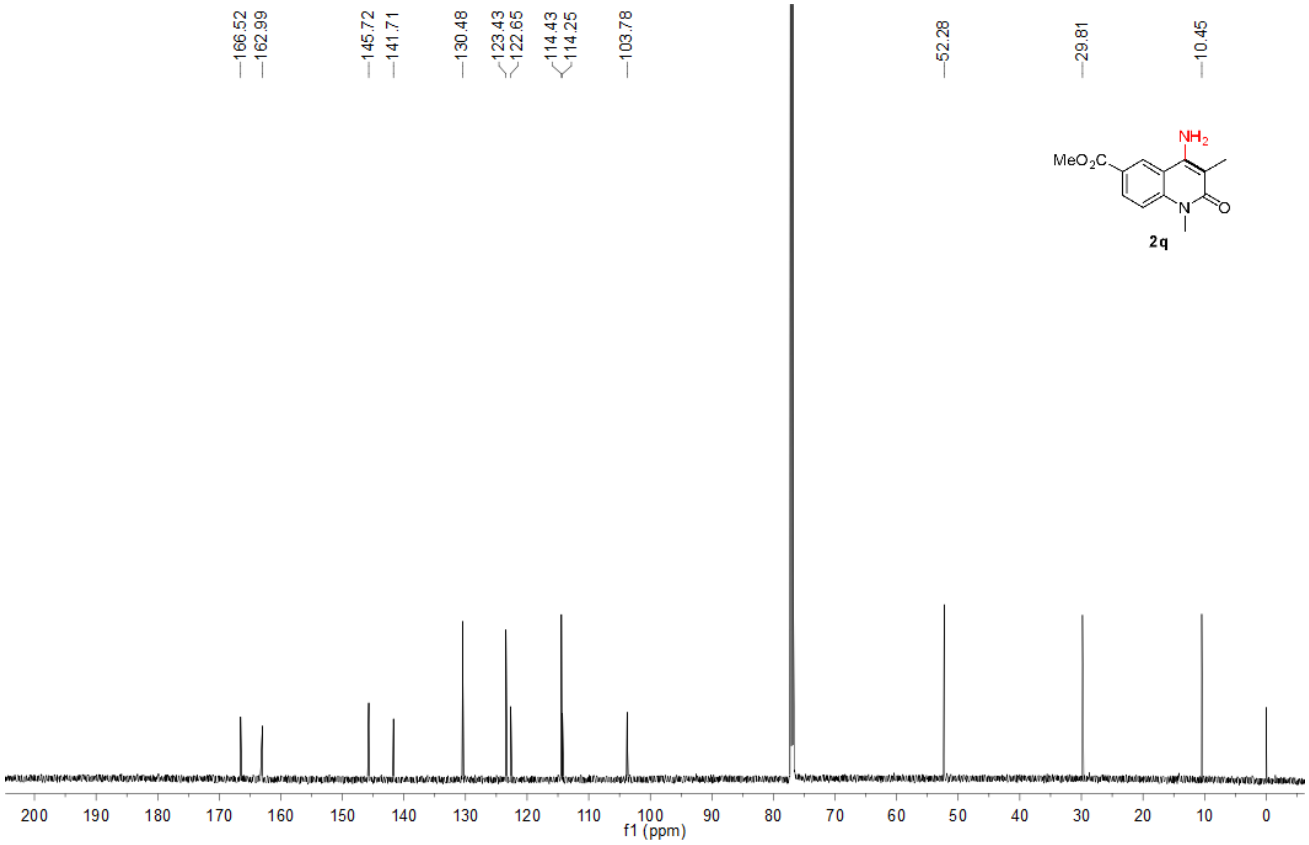
^{13}C NMR, 151 MHz, DMSO-d_6



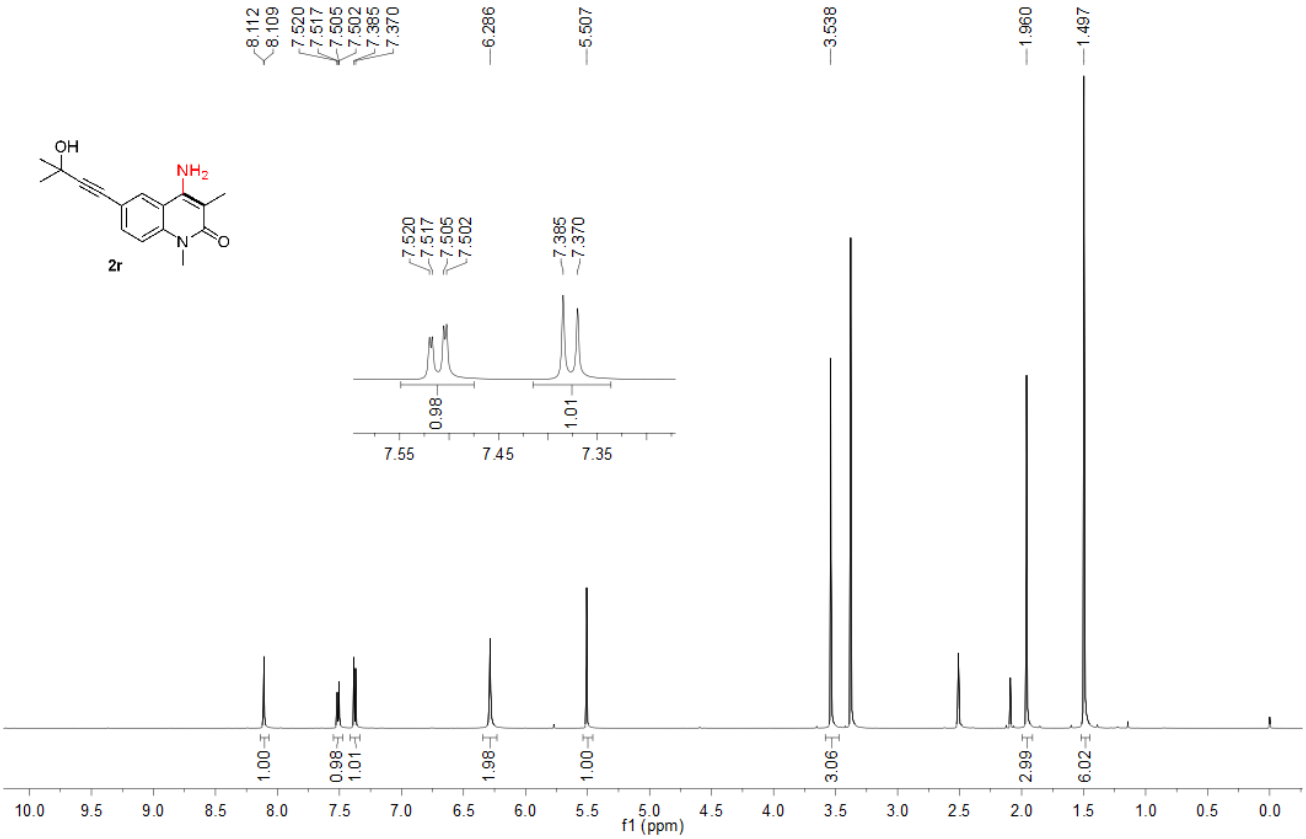
^{1}H NMR, 600 MHz, $CDCl_3$



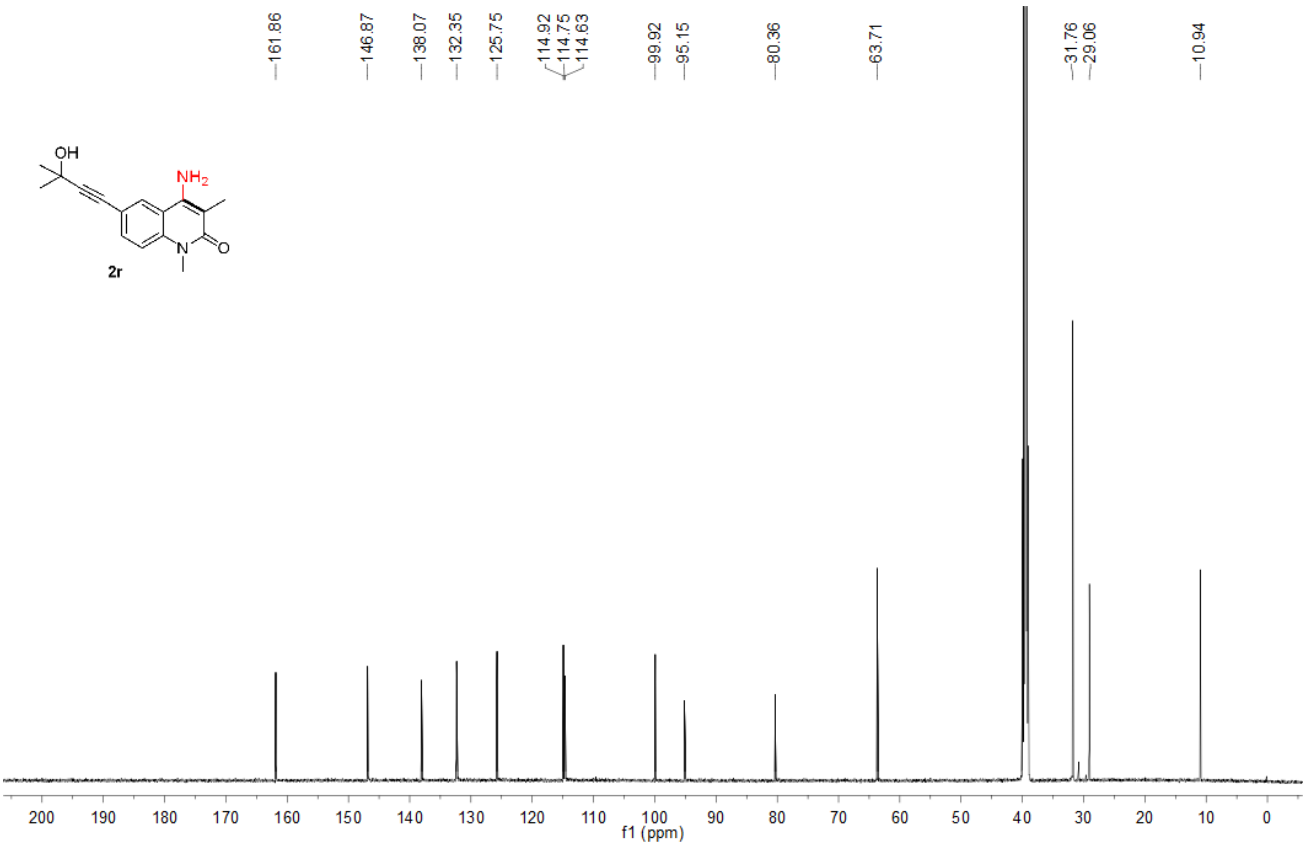
^{13}C NMR, 151 MHz, $CDCl_3$



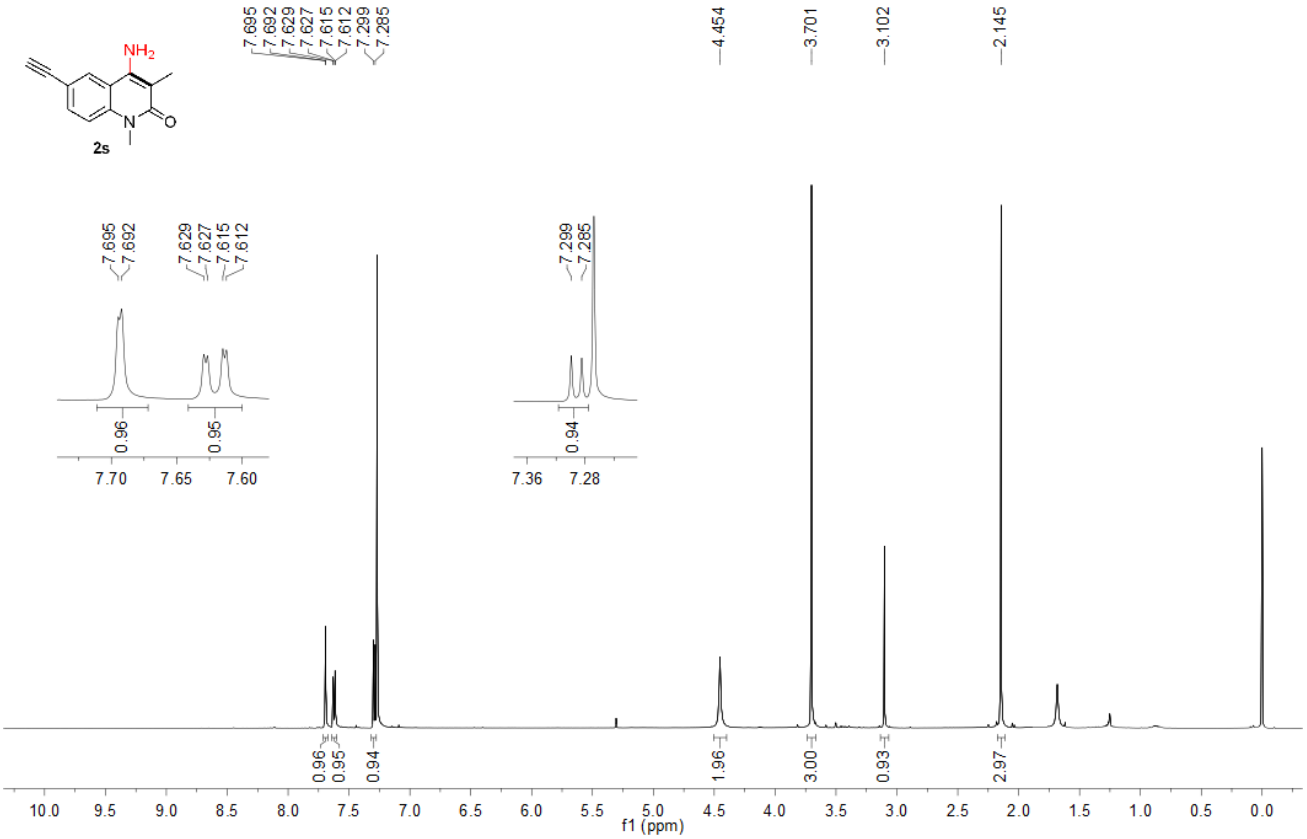
^{1}H NMR, 600 MHz, DMSO-d_6



^{13}C NMR, 151 MHz, DMSO-d_6



^{1}H NMR, 600 MHz, $CDCl_3$



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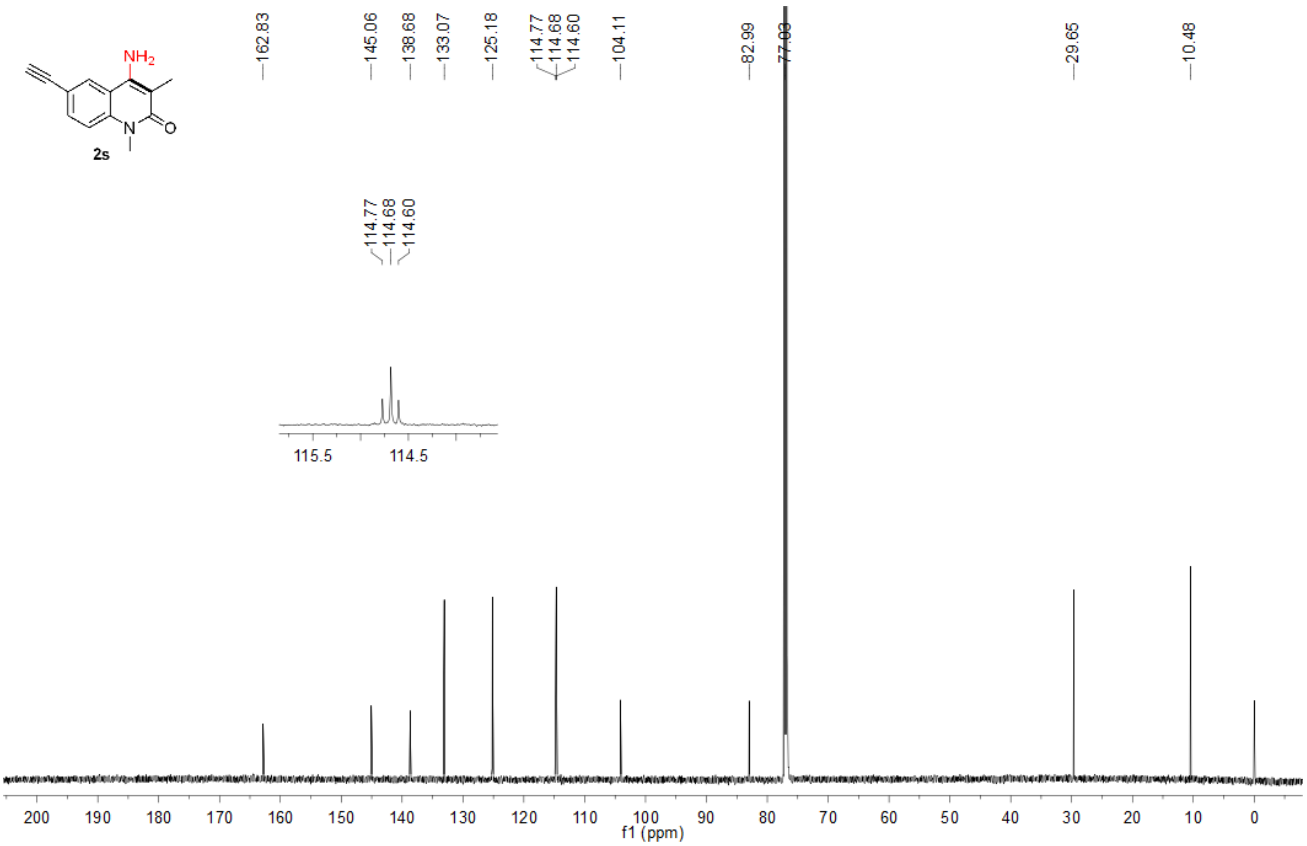

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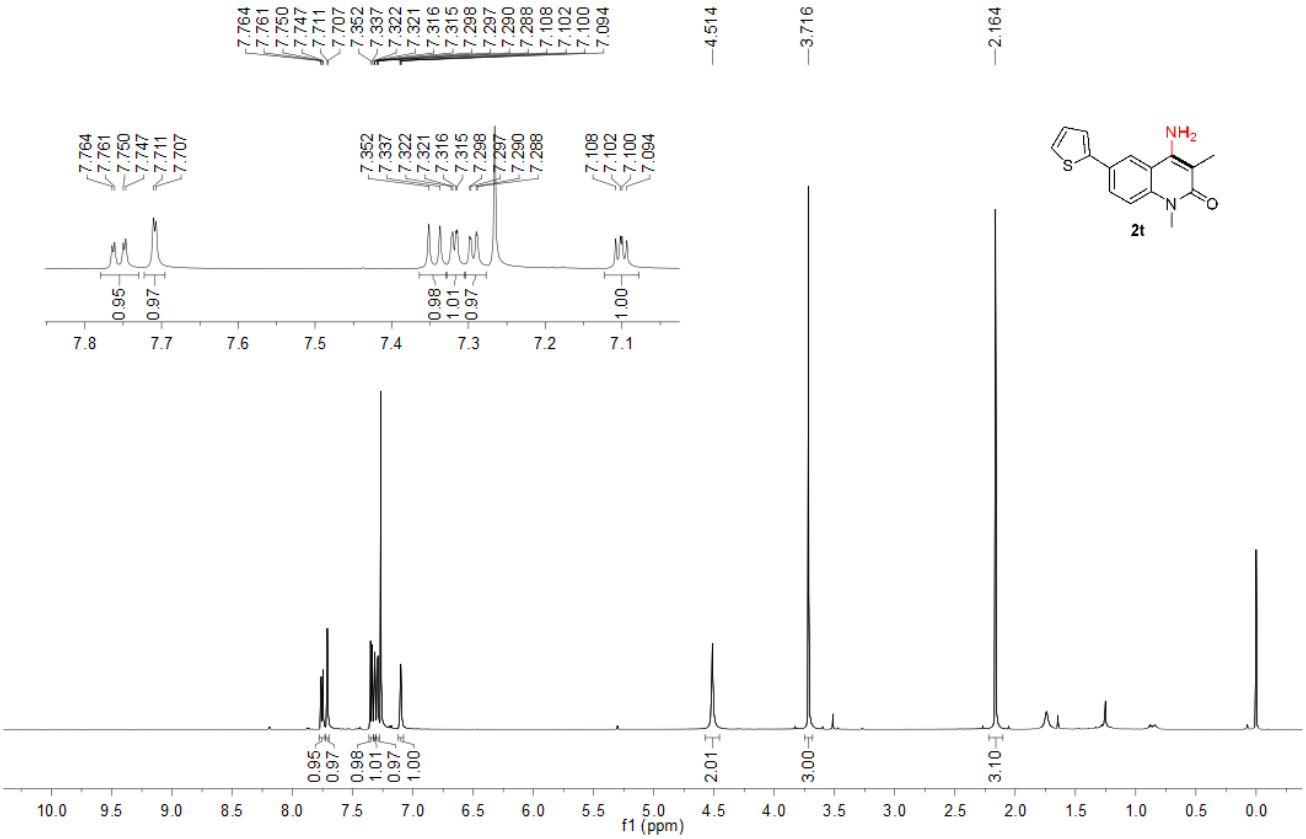
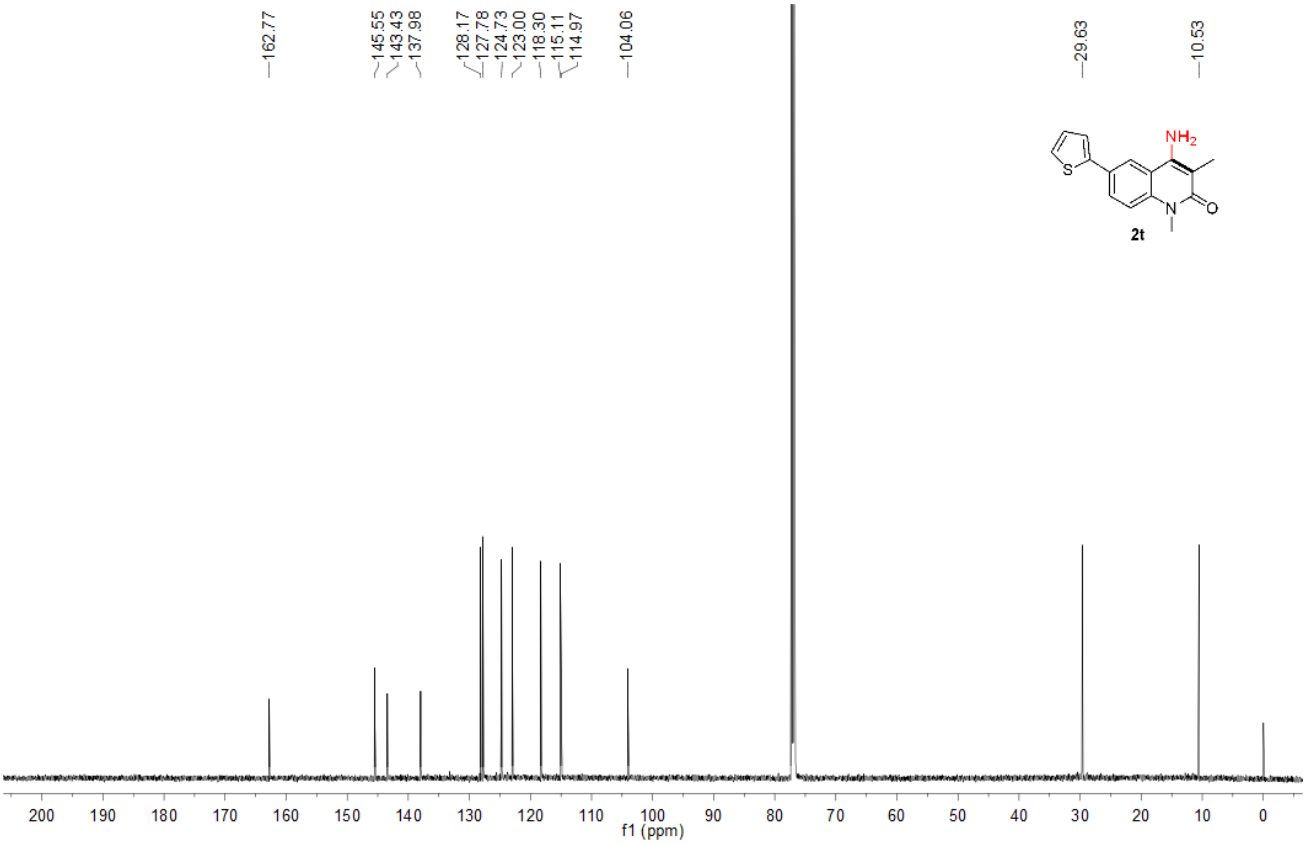
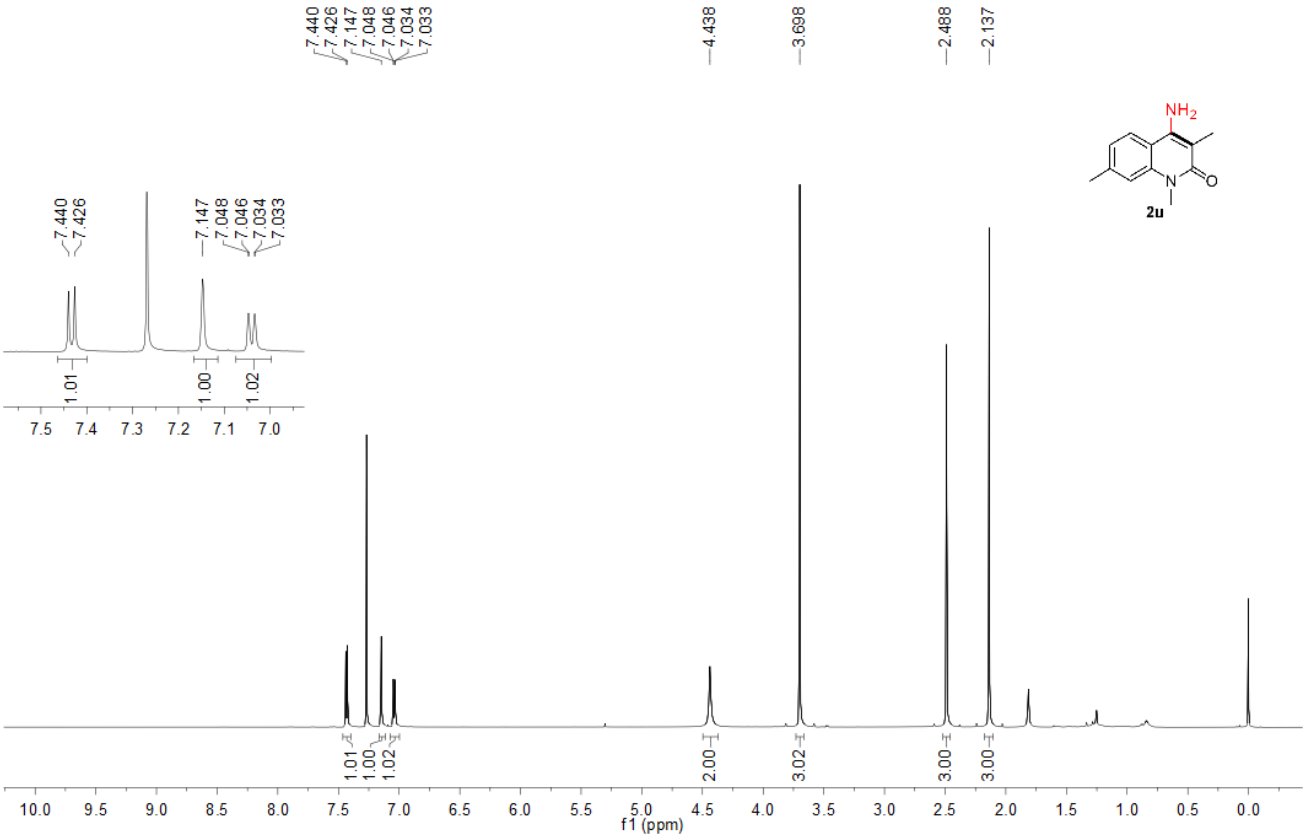


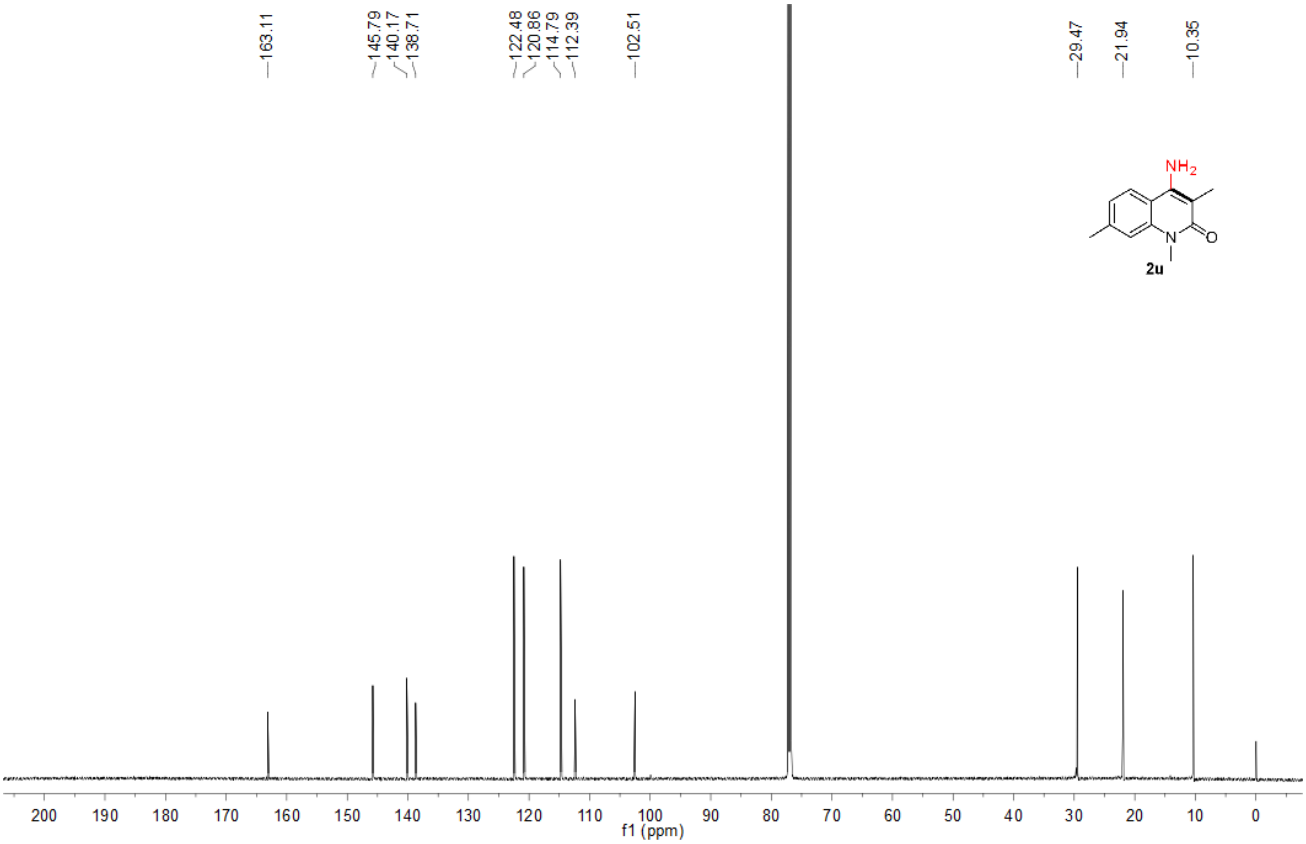
^{13}C NMR, 151 MHz, $CDCl_3$



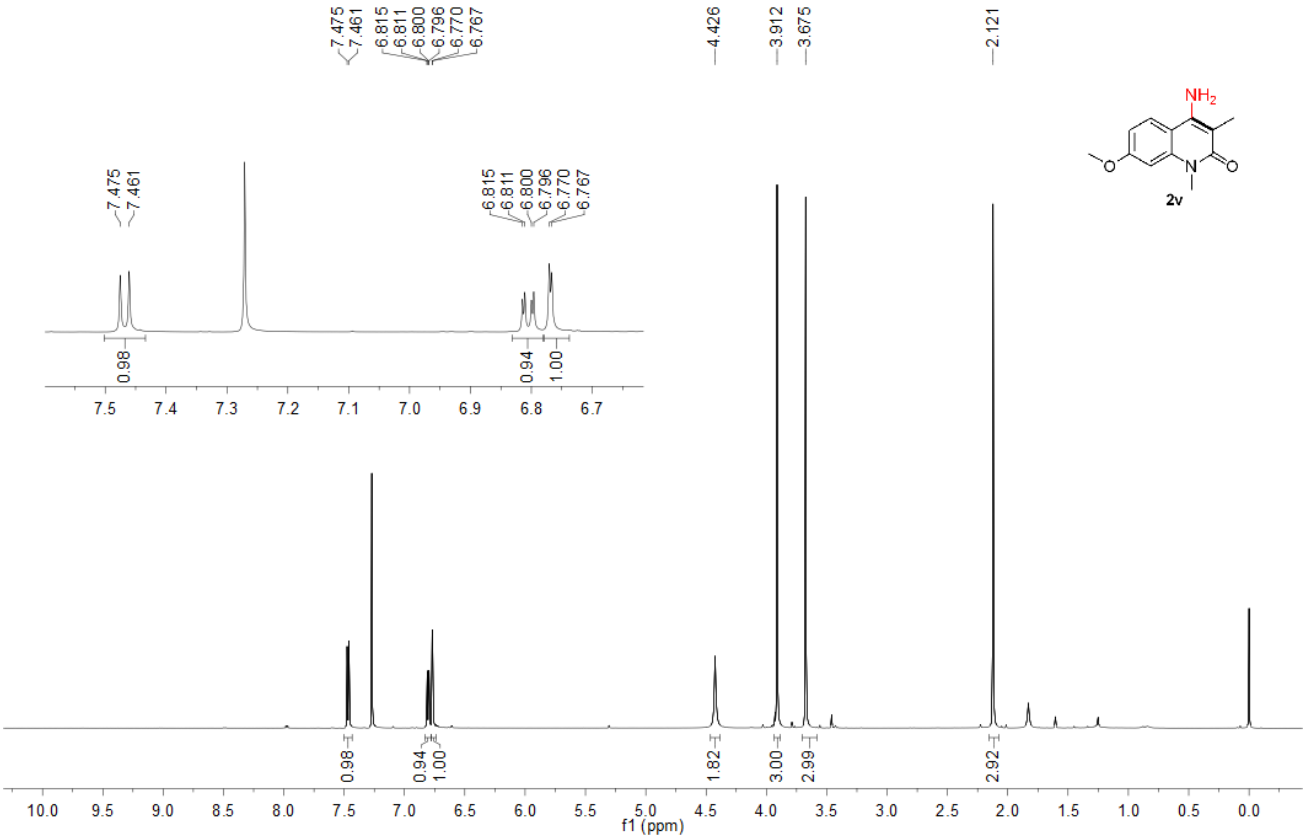
^{1}H NMR, 600 MHz, $CDCl_3$



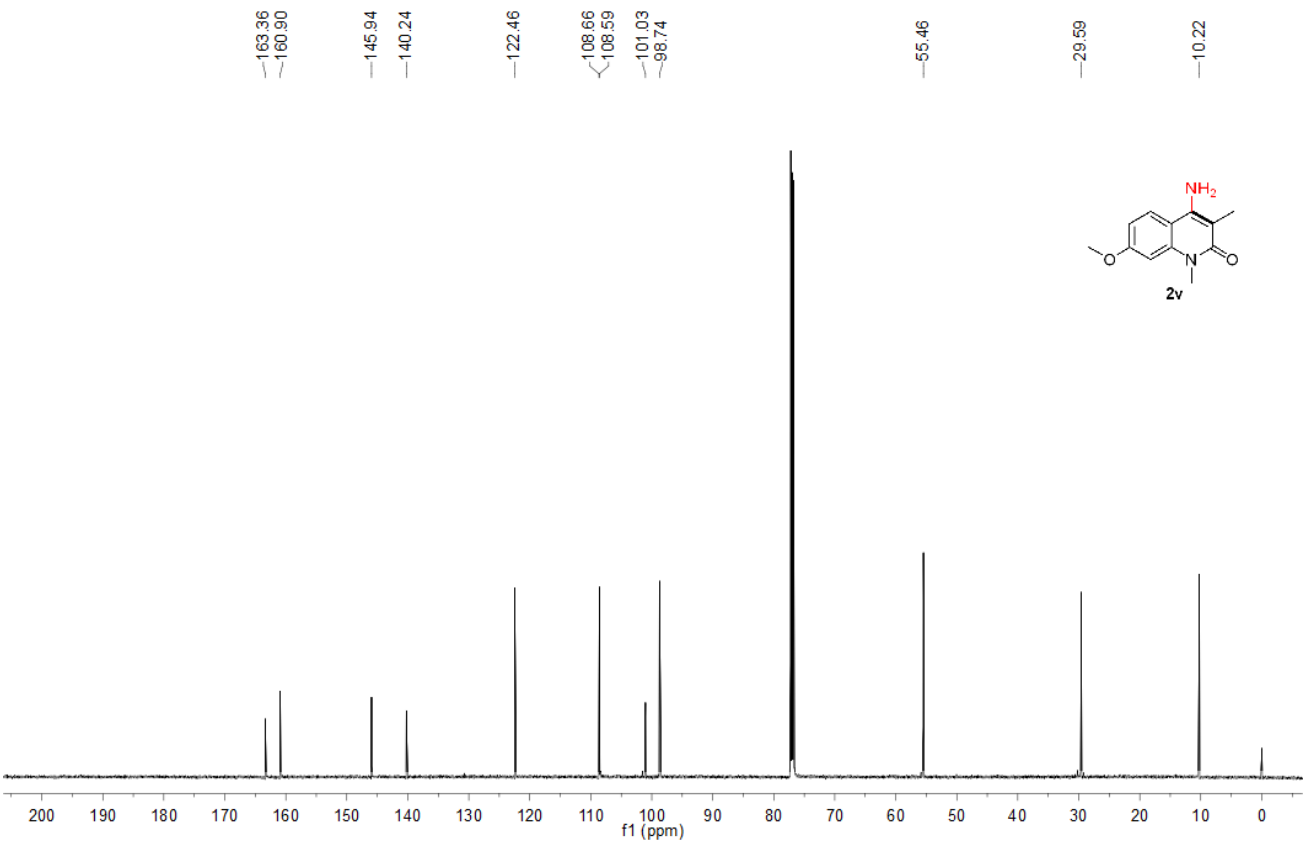
^{13}C NMR, 151 MHz, $CDCl_3$



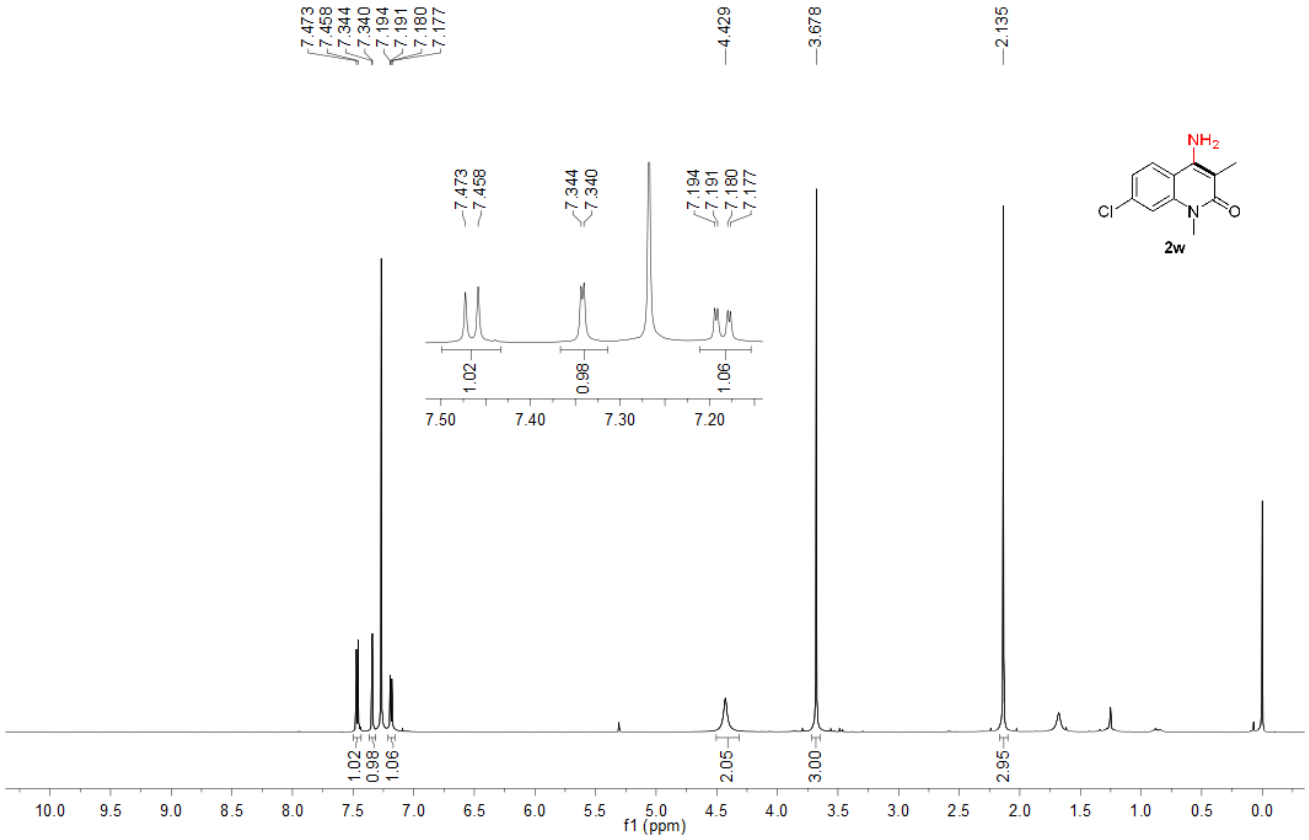
^{1}H NMR, 600 MHz, $CDCl_3$



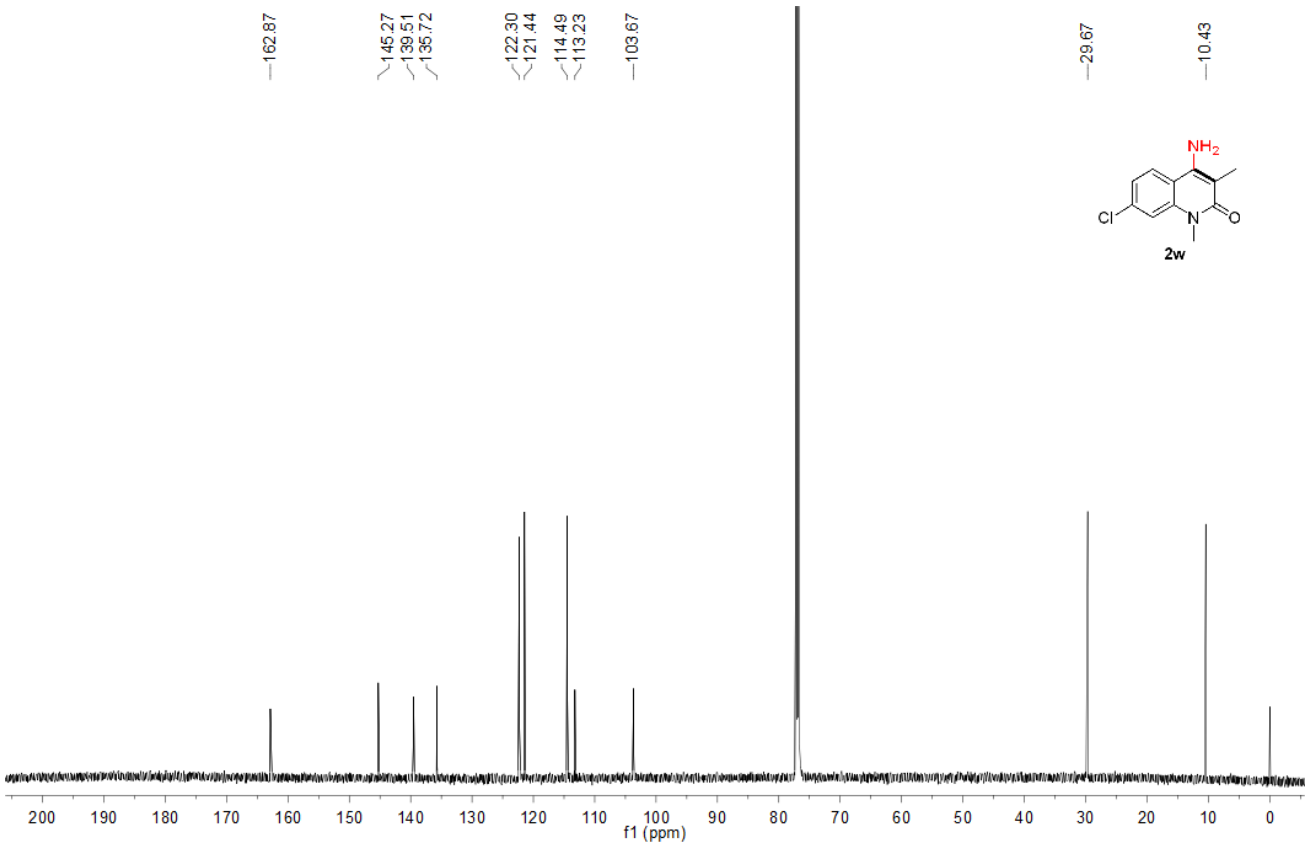
^{13}C NMR, 151 MHz, $CDCl_3$



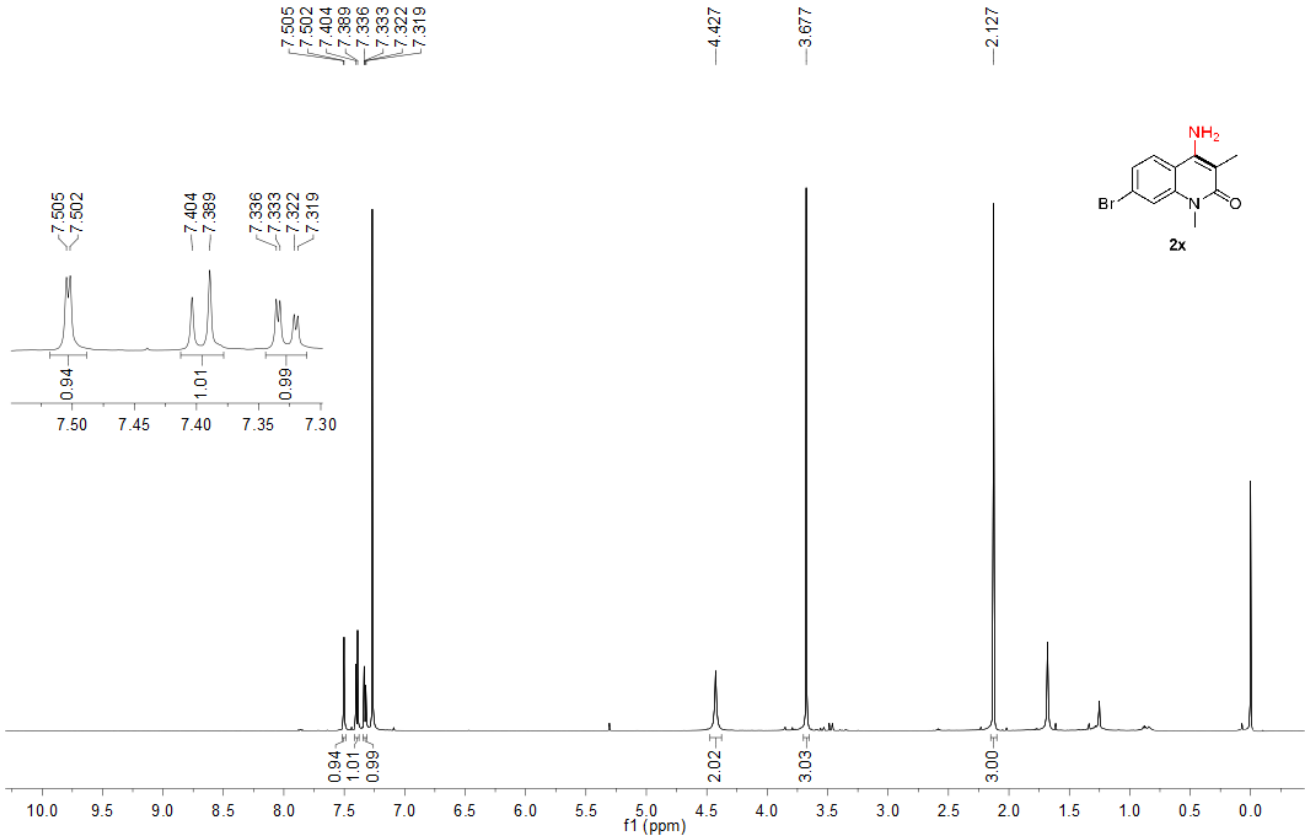
^{1}H NMR, 600 MHz, $CDCl_3$



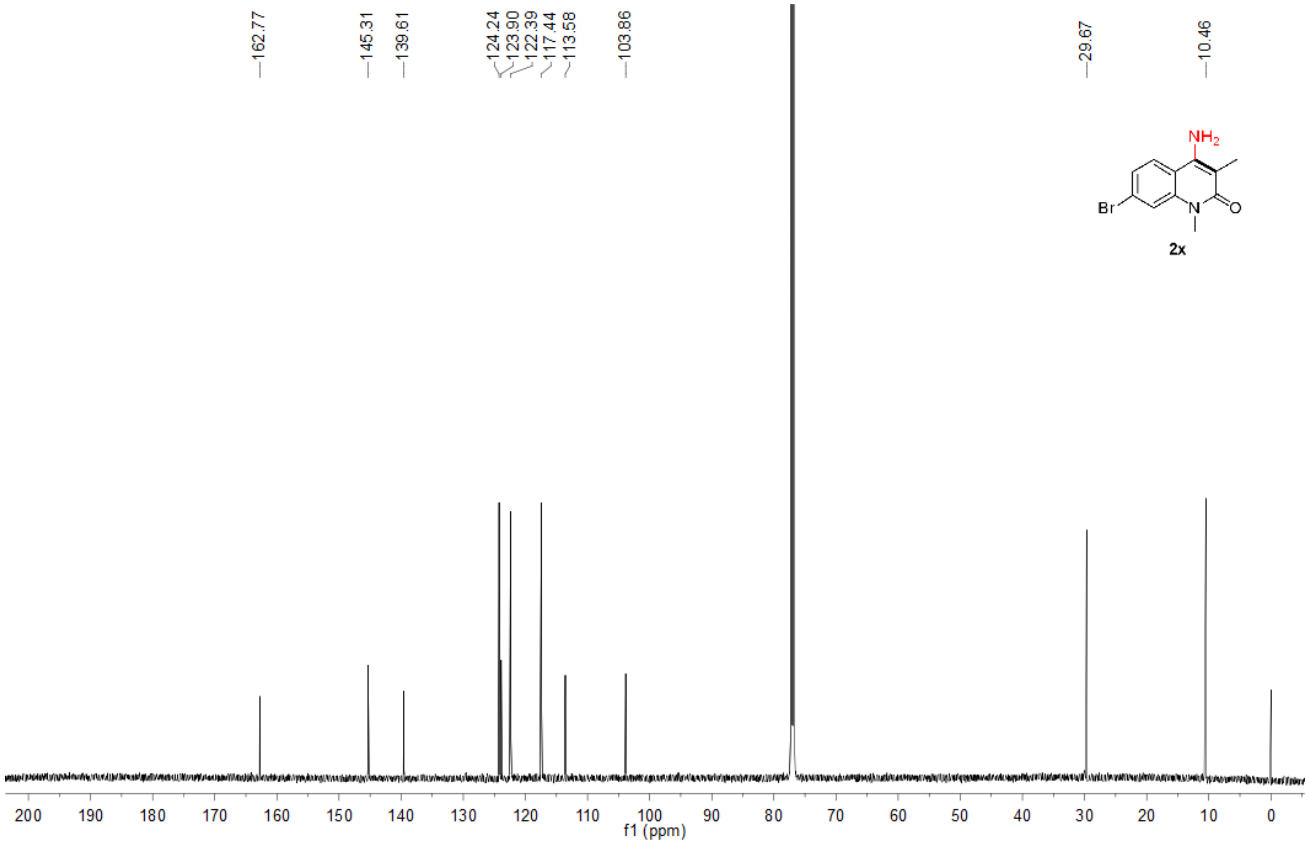
^{13}C NMR, 151 MHz, $CDCl_3$



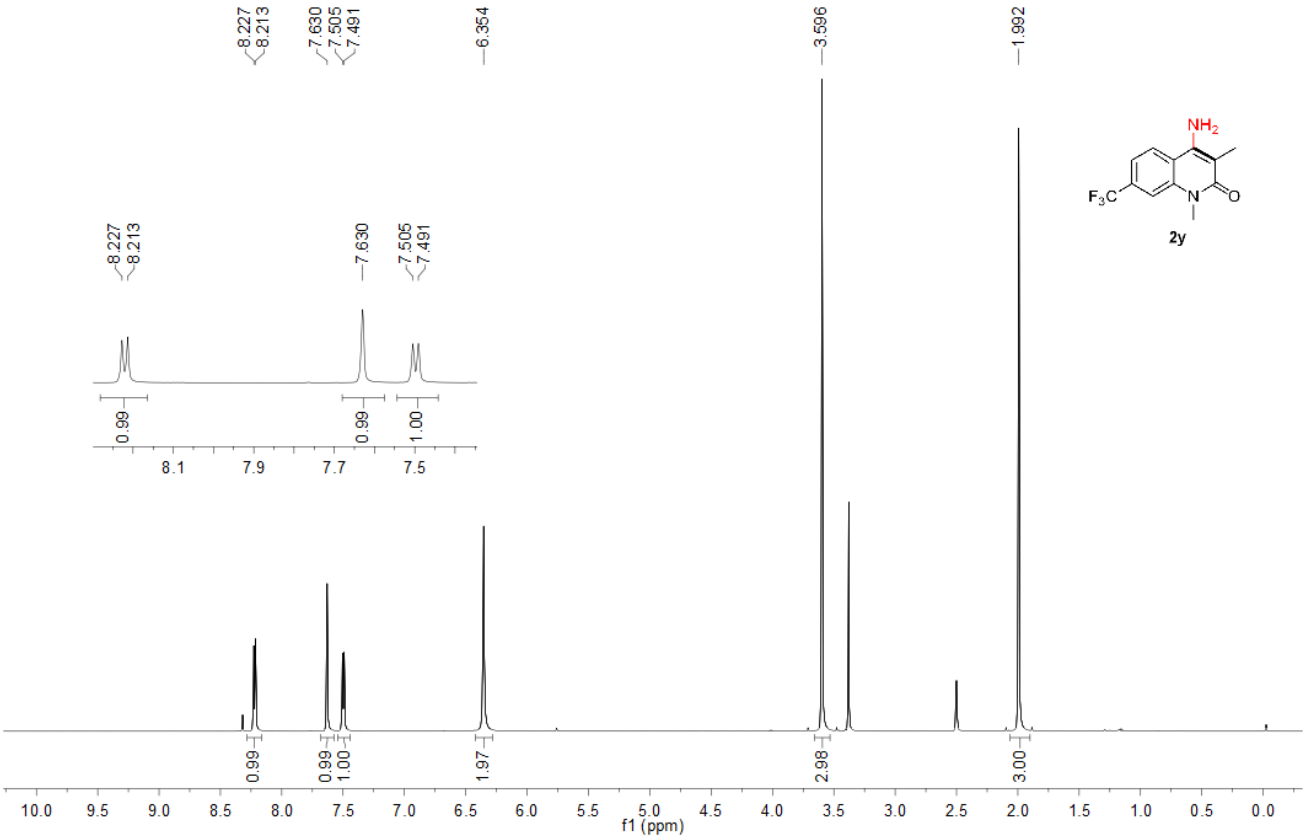
^{1}H NMR, 600 MHz, $CDCl_3$



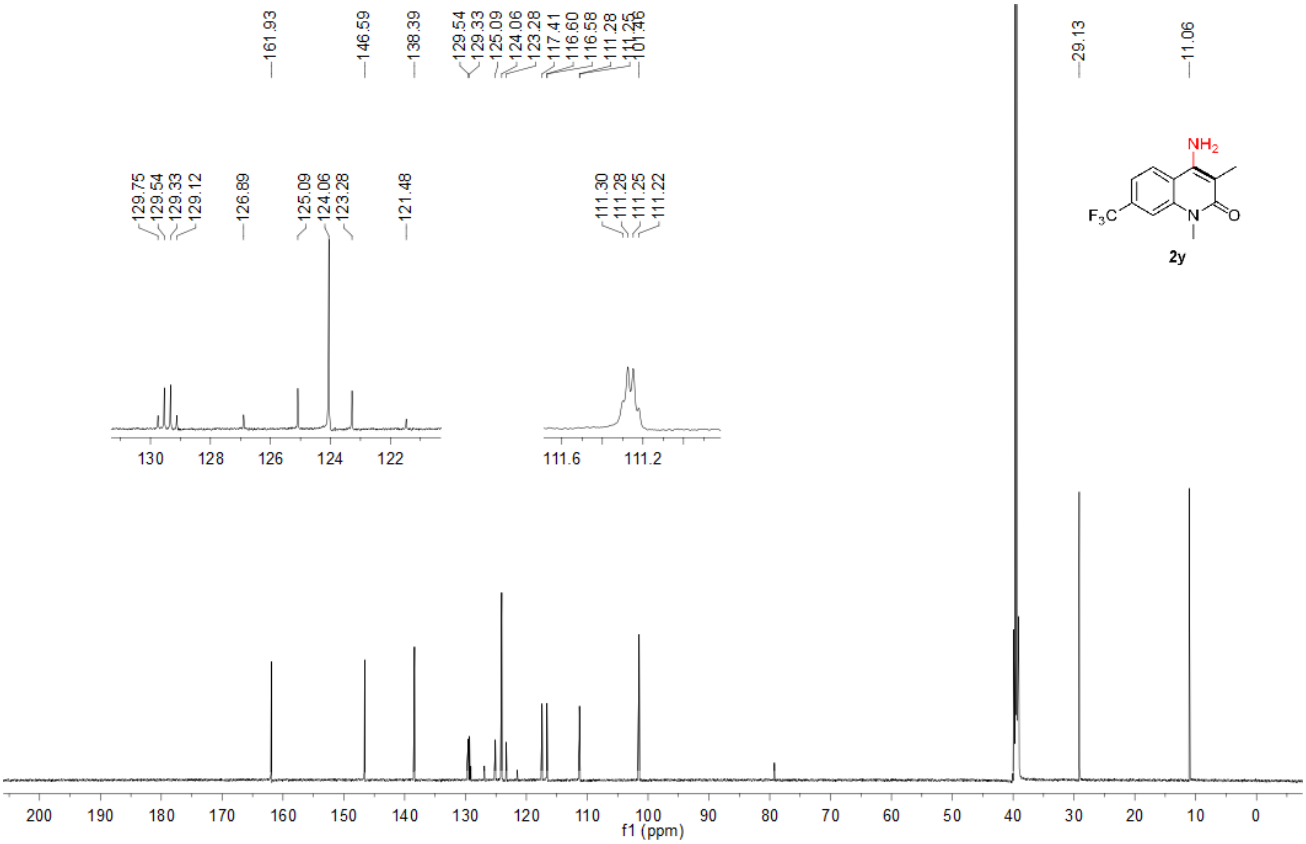
^{13}C NMR, 151 MHz, $CDCl_3$



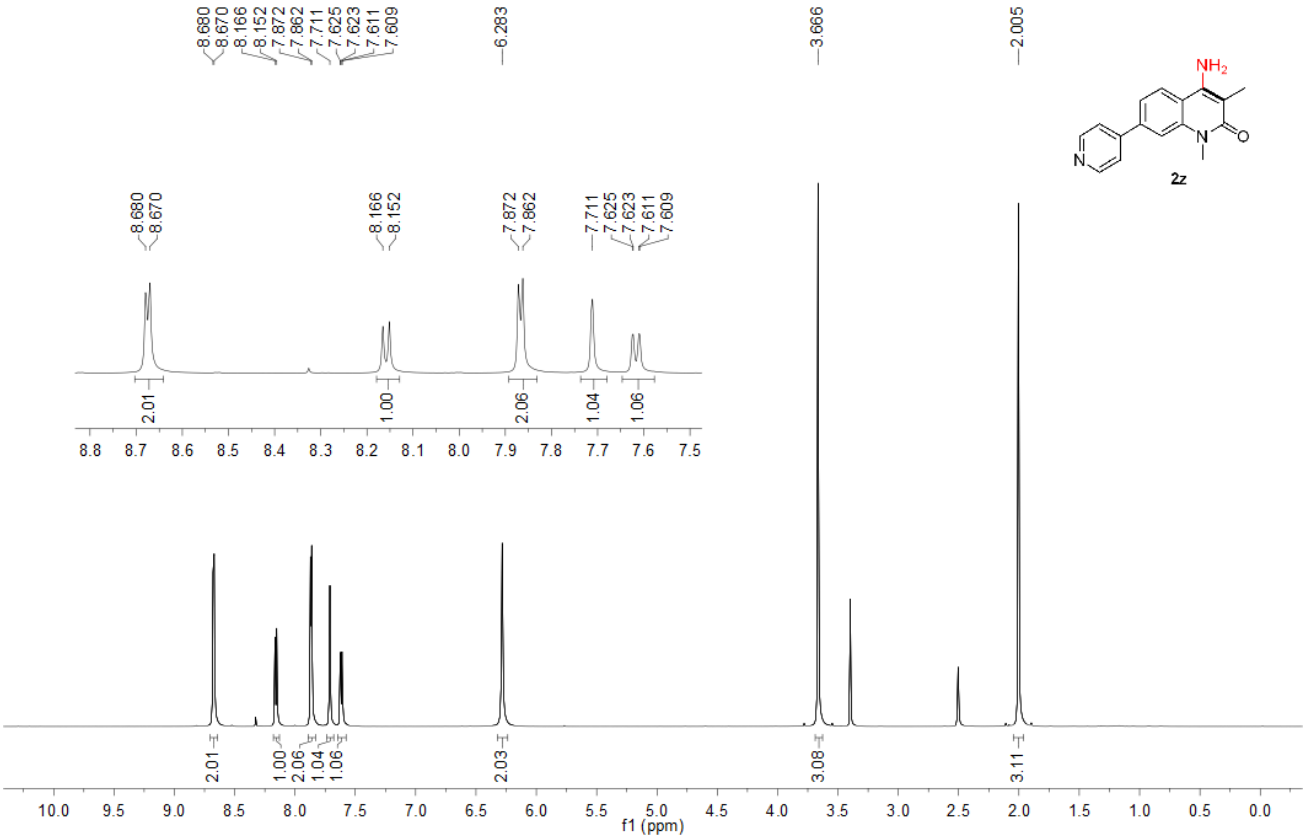
^{1}H NMR, 600 MHz, DMSO-d_6



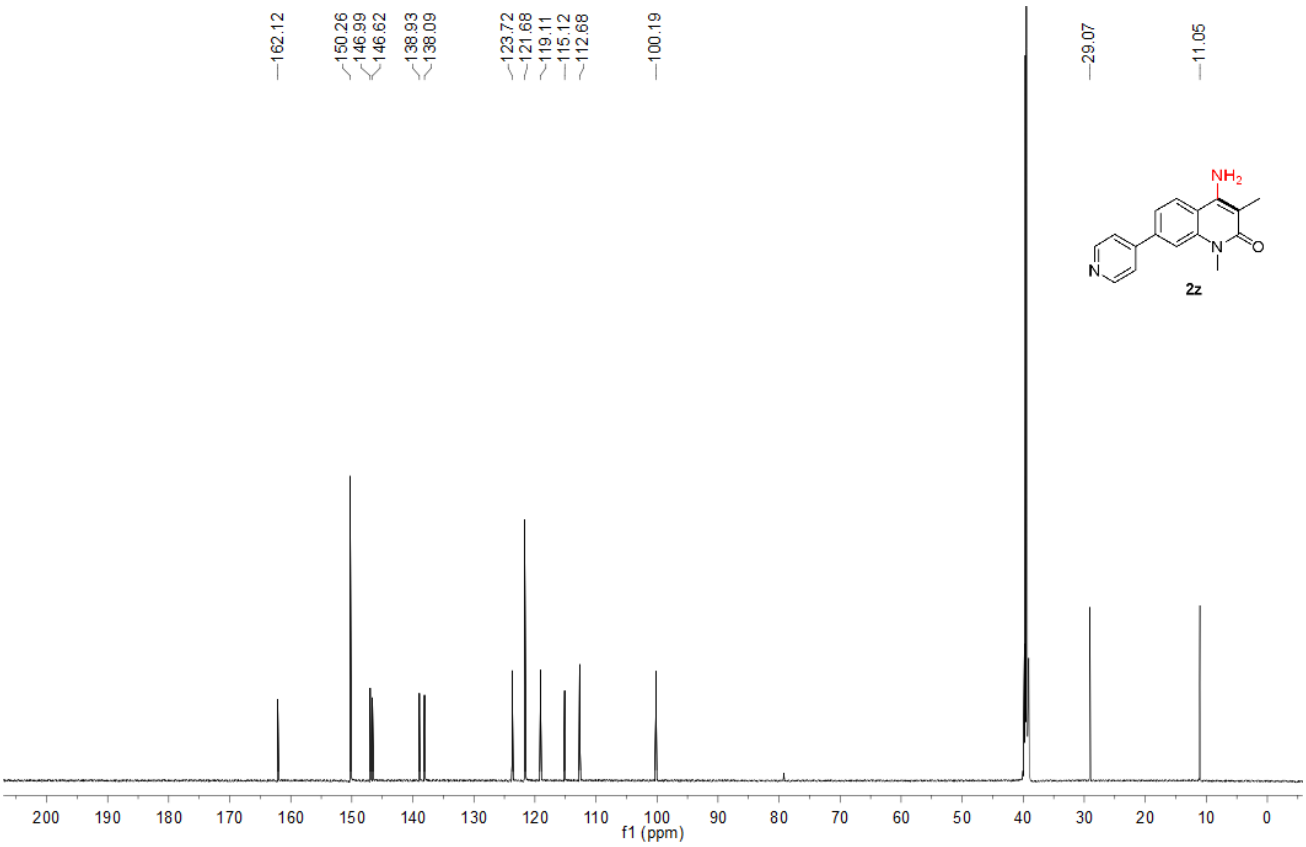
^{13}C NMR, 151 MHz, DMSO-d_6



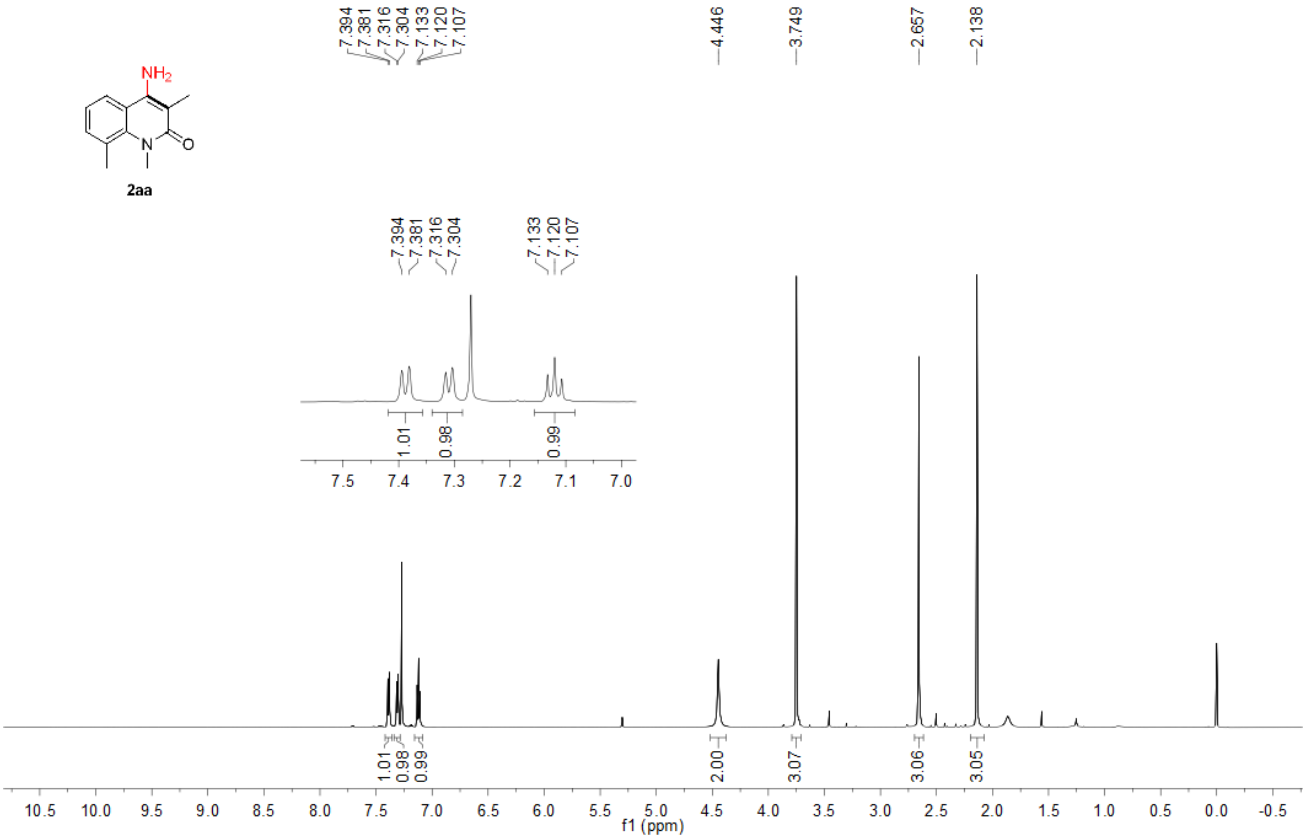
^{1}H NMR, 600 MHz, DMSO-d_6



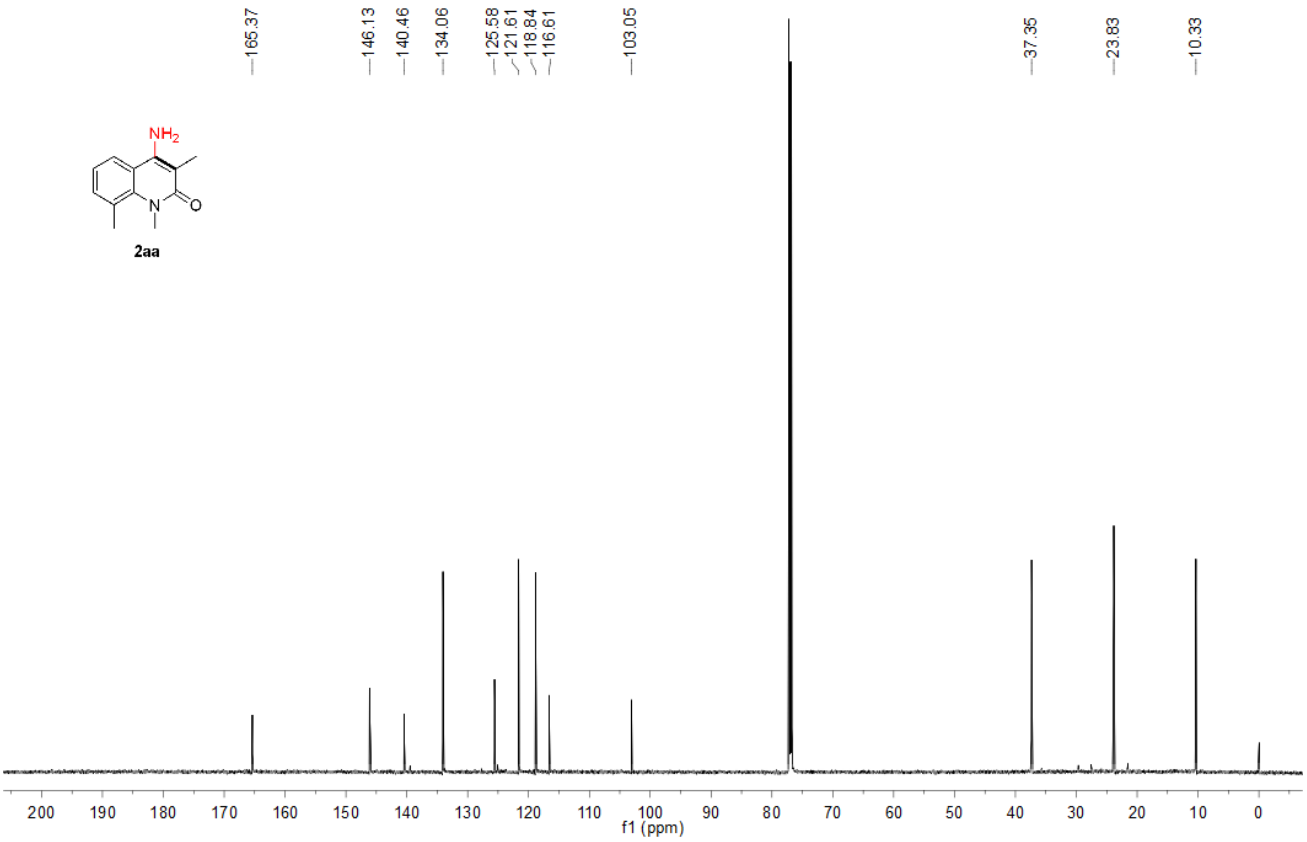
^{13}C NMR, 151 MHz, DMSO-d_6



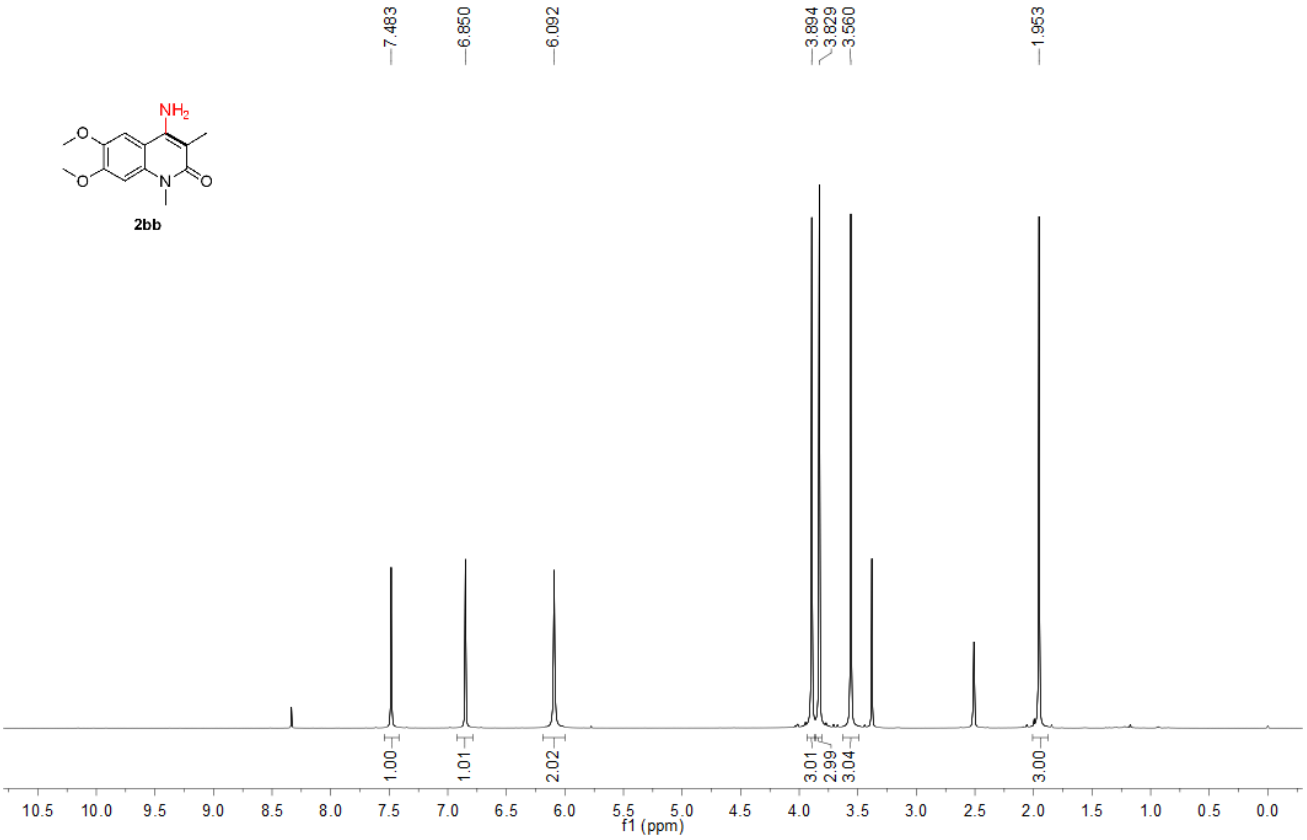
^{1}H NMR, 600 MHz, $CDCl_3$



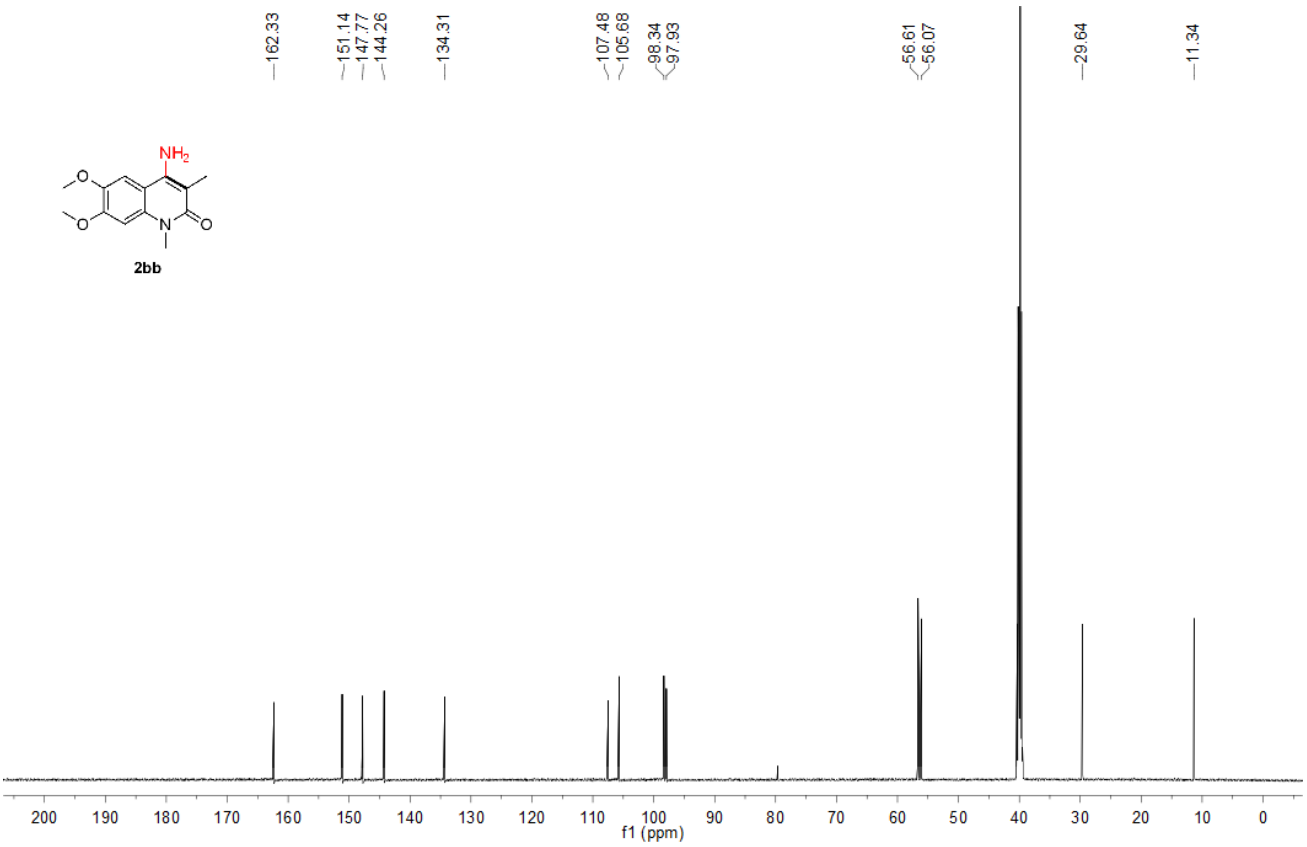
^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, DMSO-d_6



^{13}C NMR, 151 MHz, DMSO-d_6



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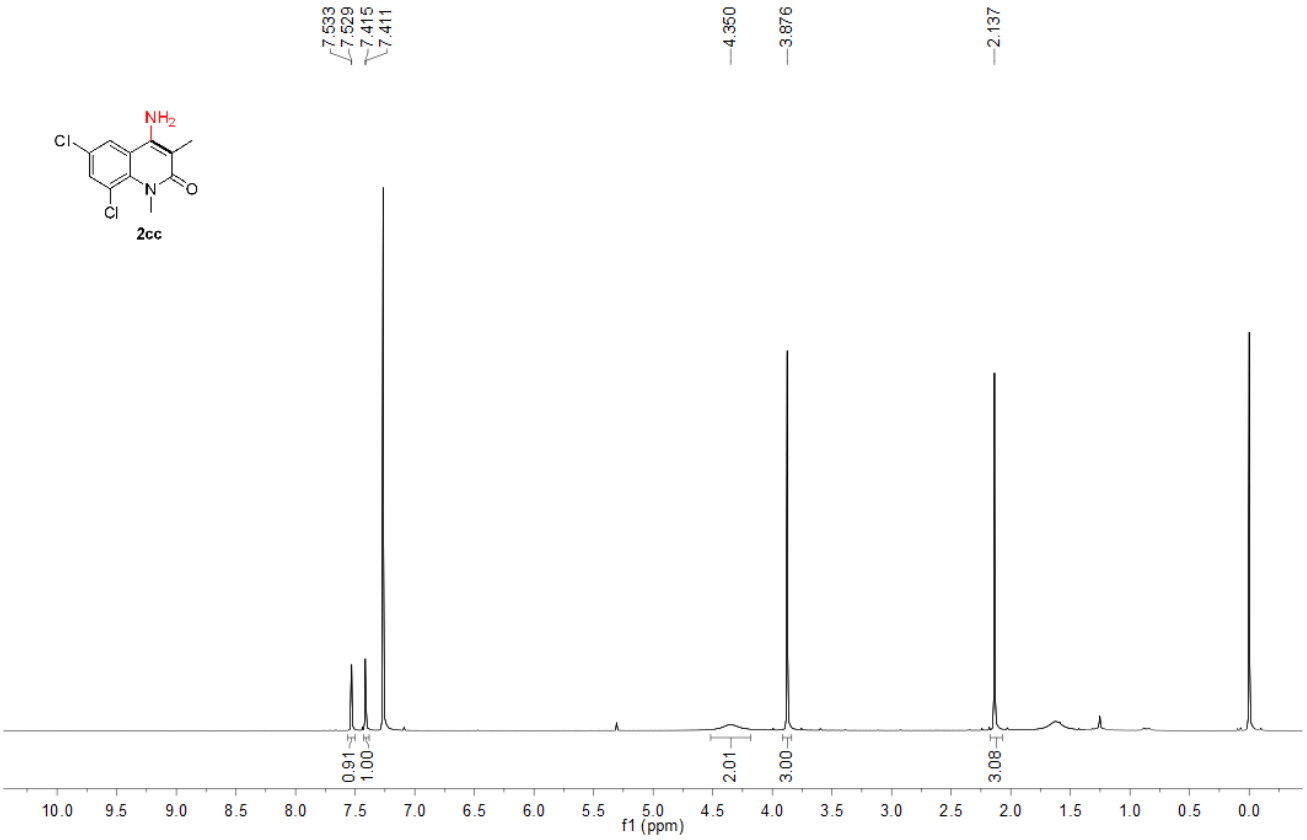
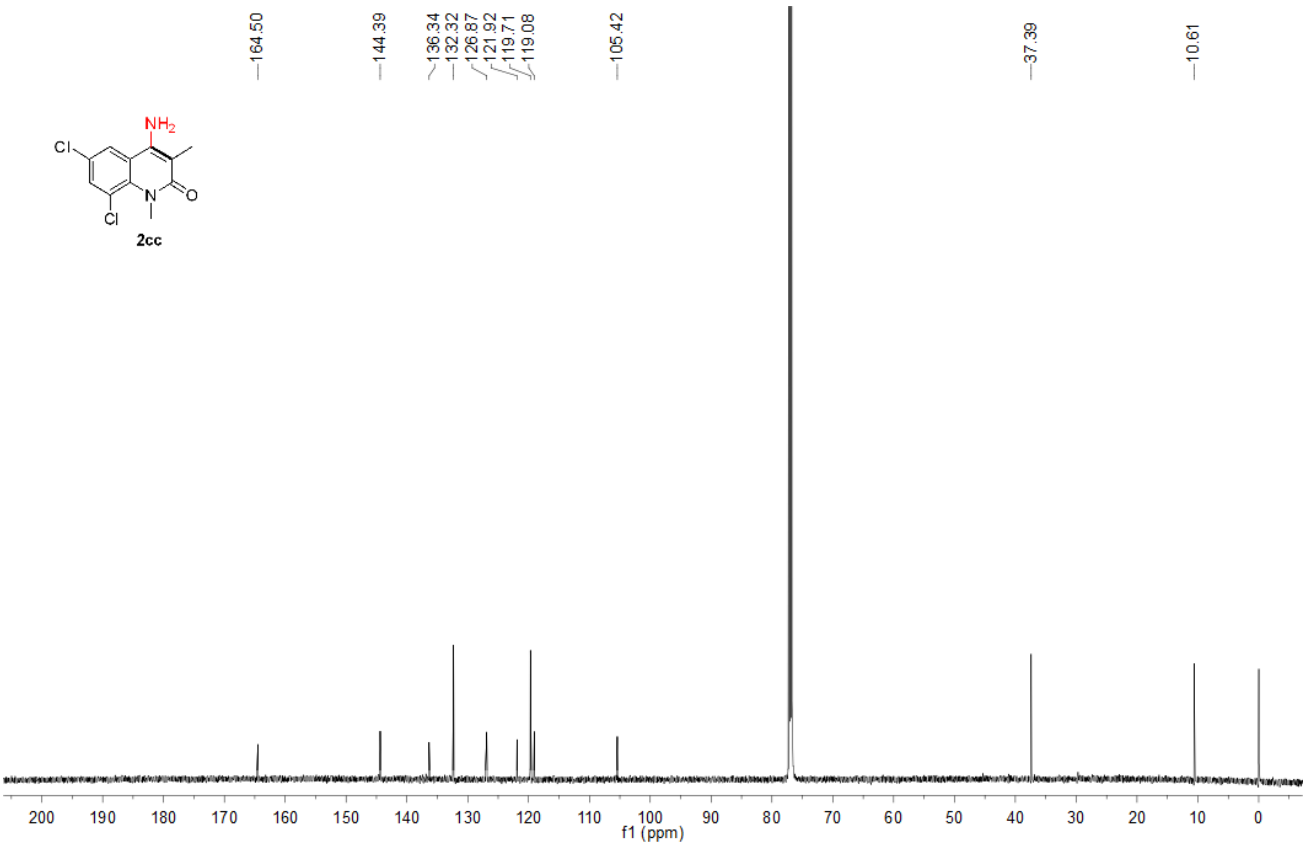
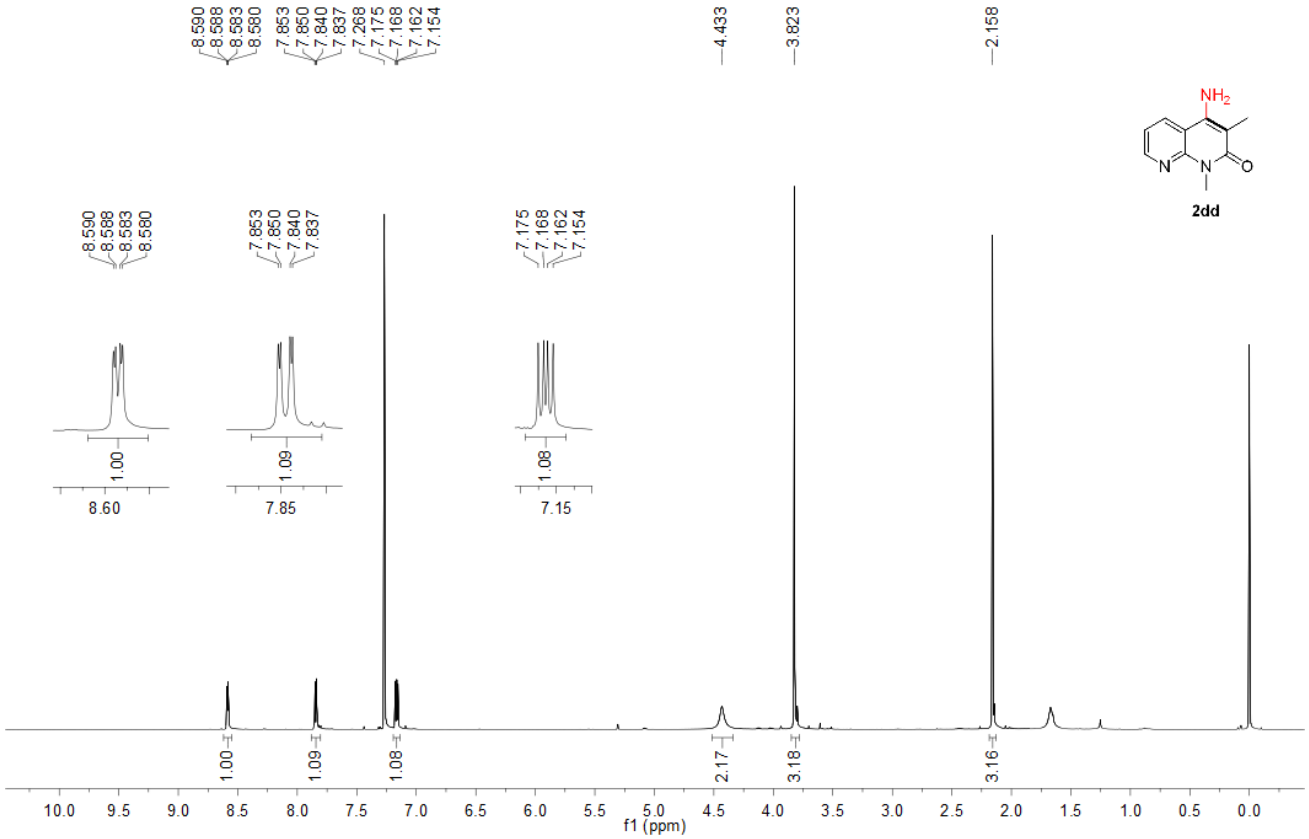


^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



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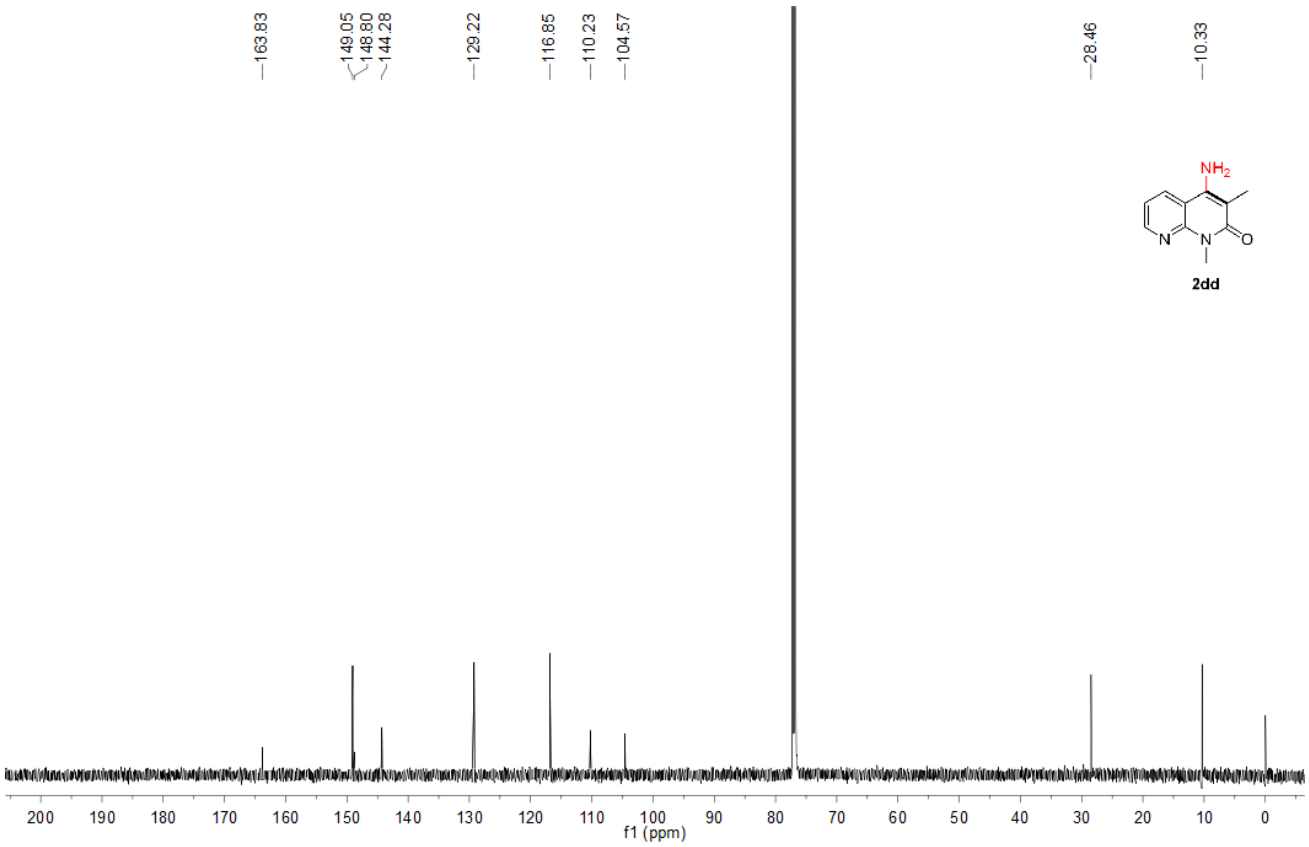

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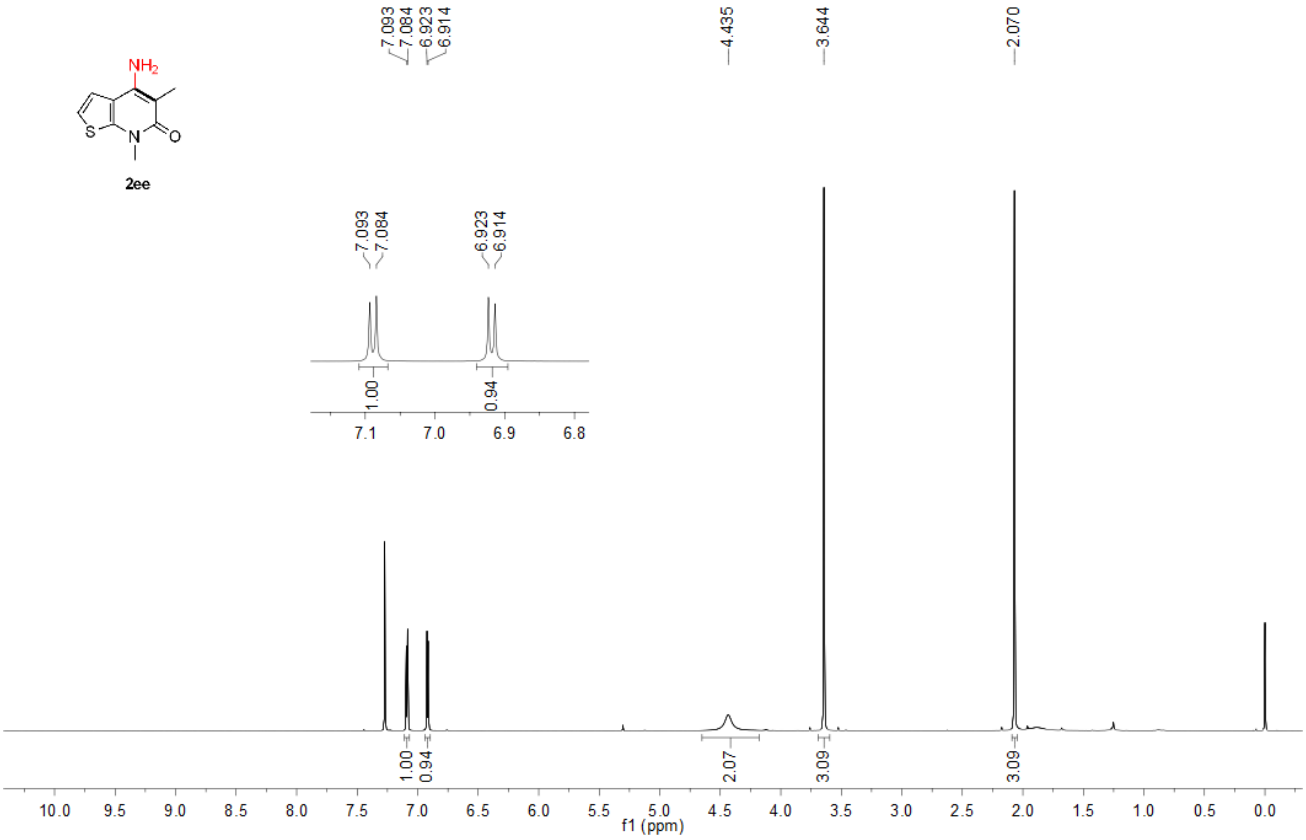

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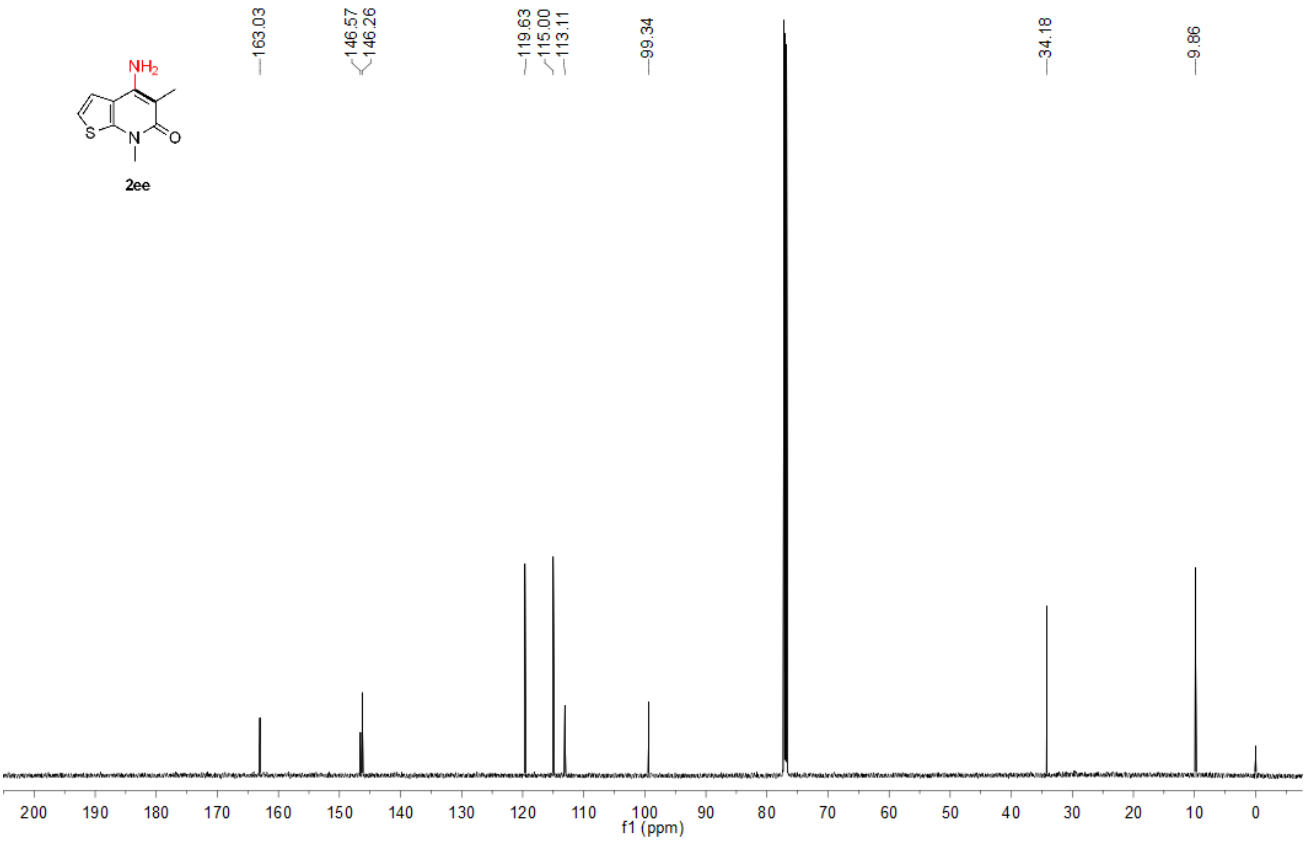
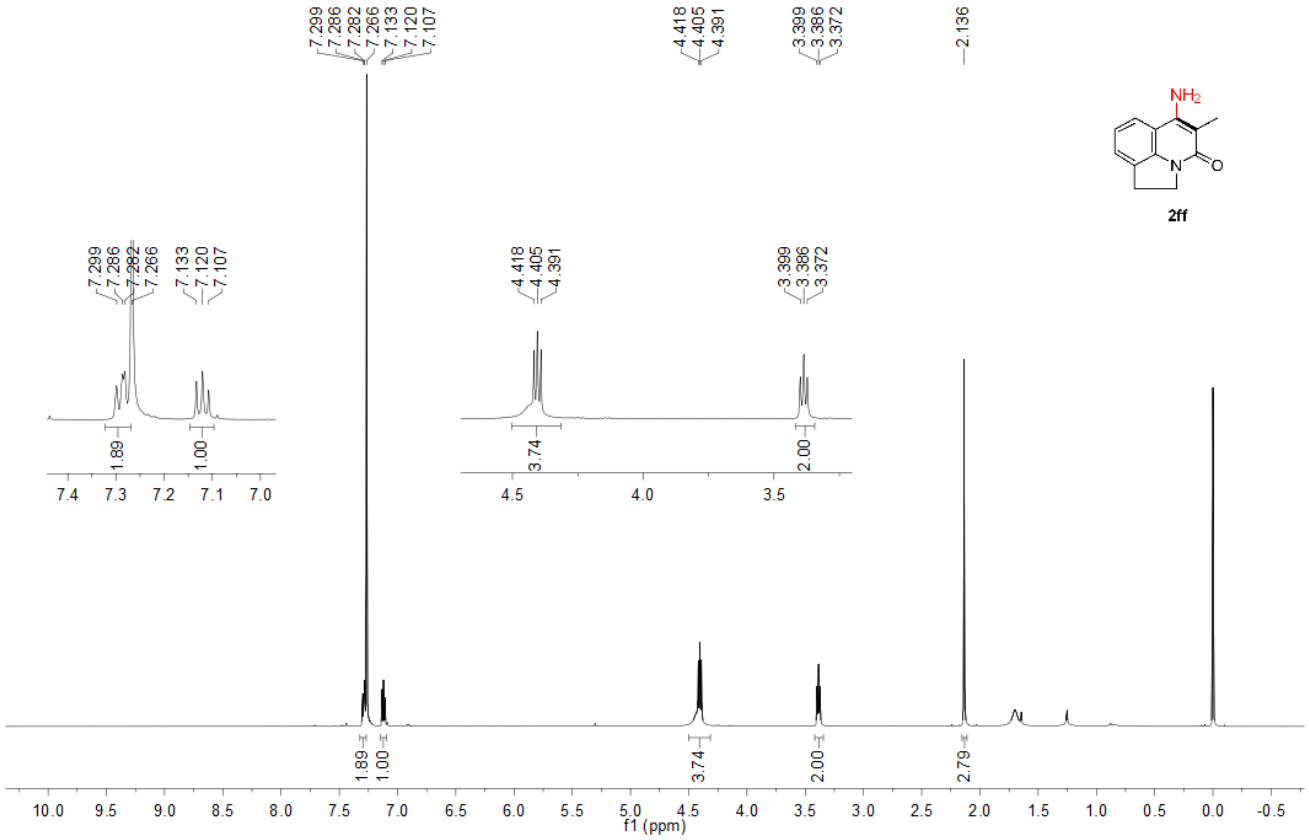
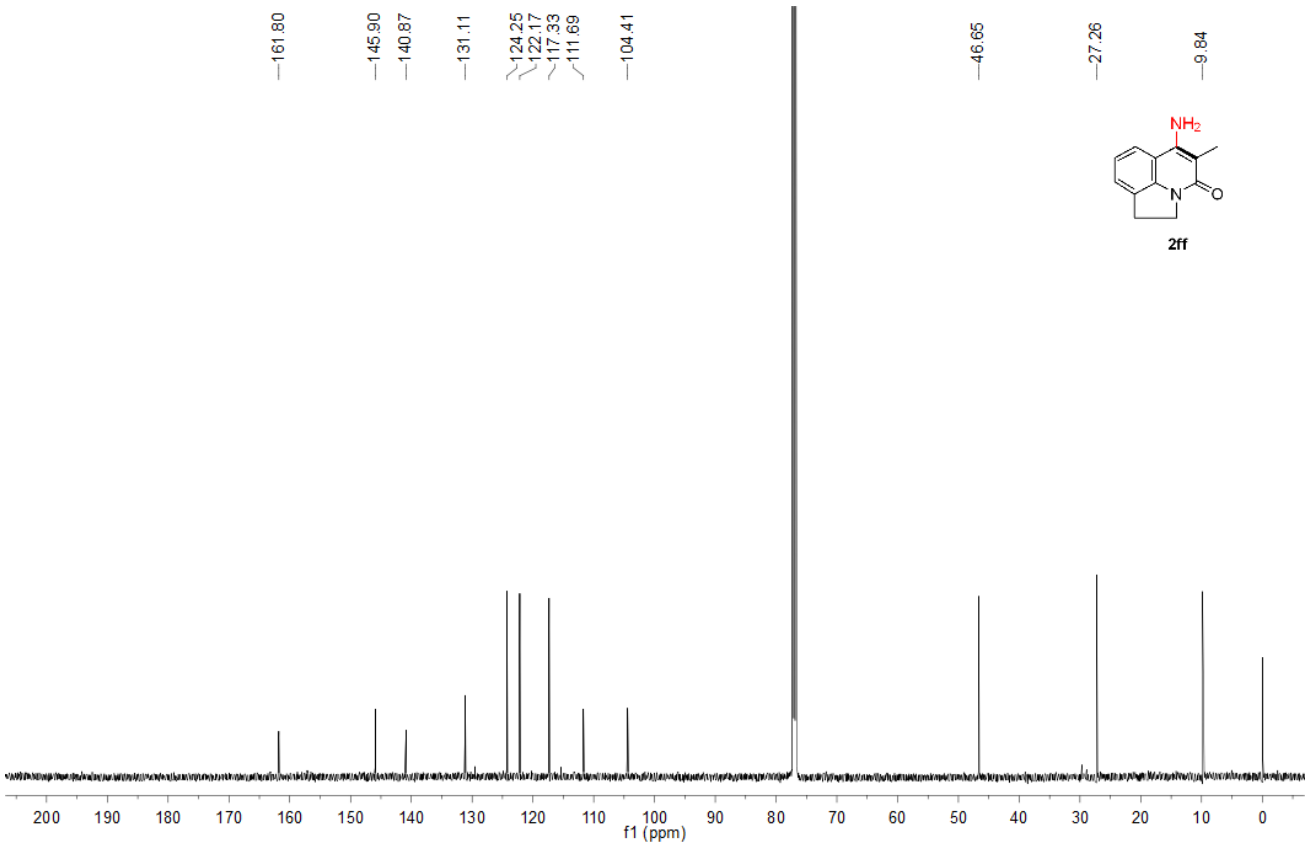


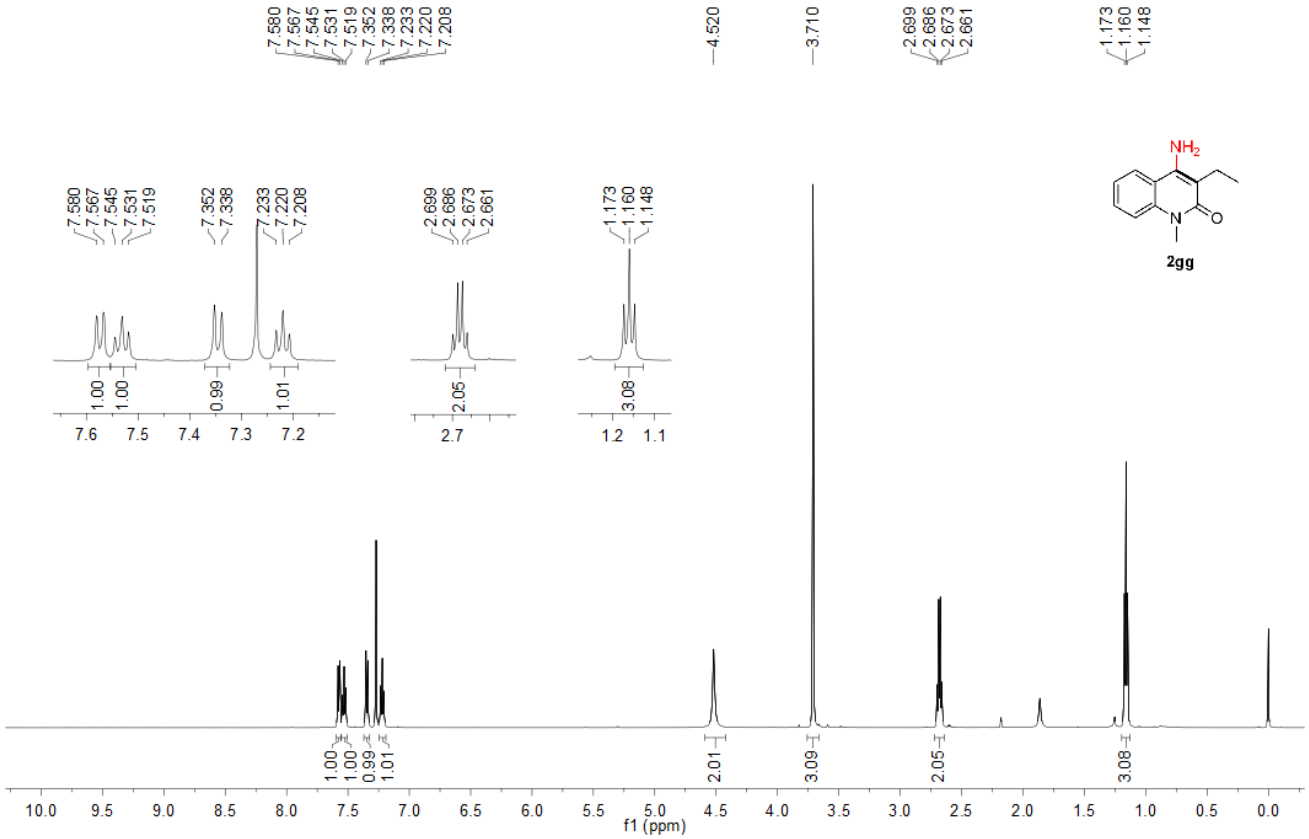
^{1}H NMR, 600 MHz, $CDCl_3$



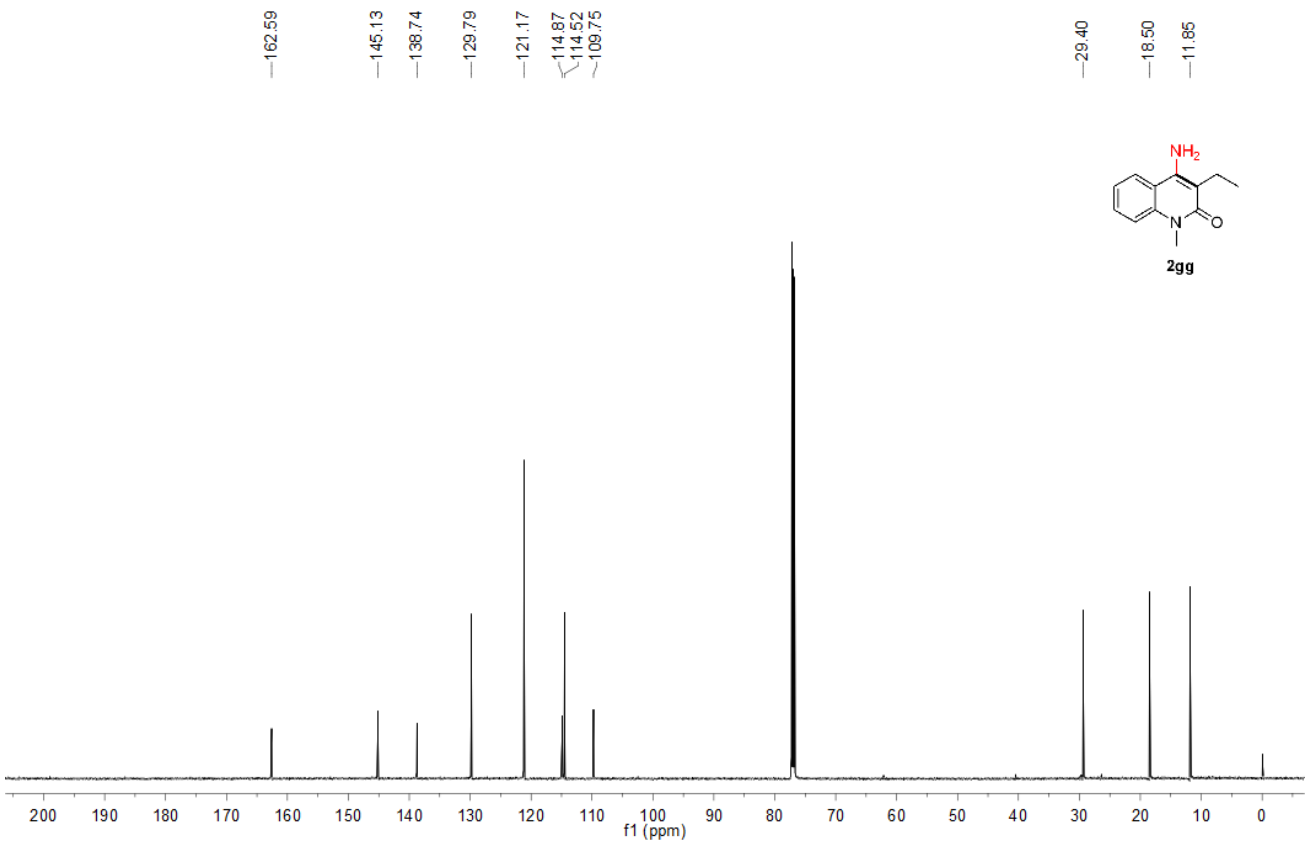
^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



^{13}C NMR, 151 MHz, $CDCl_3$



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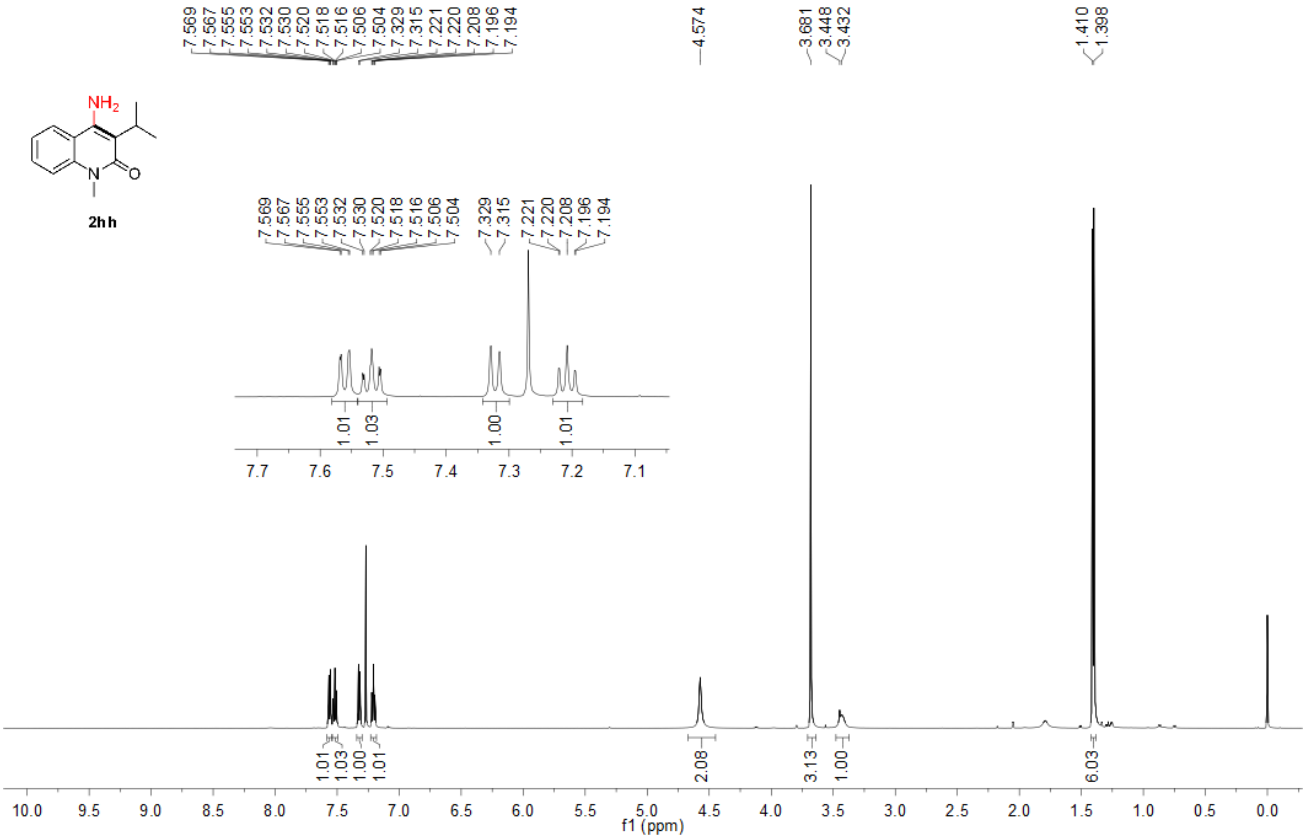
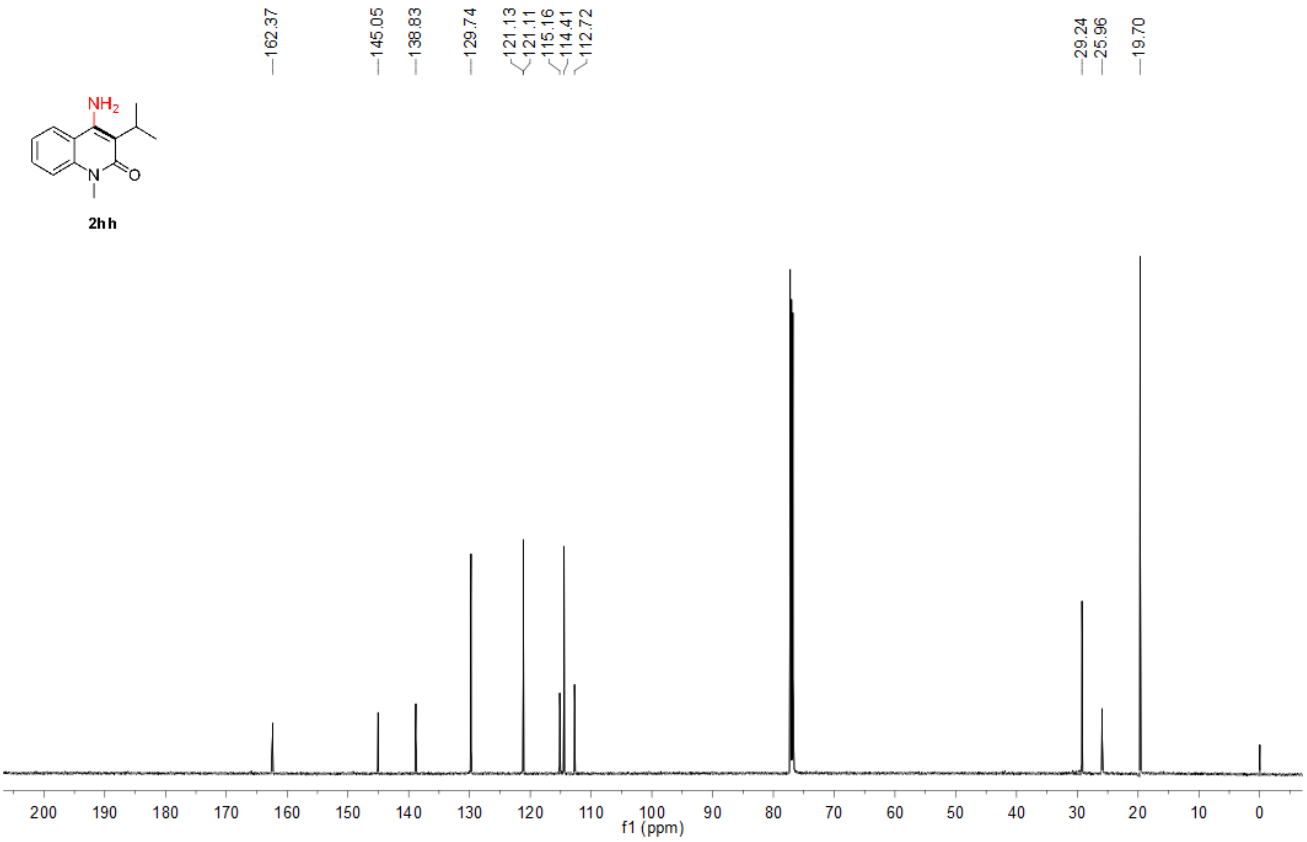
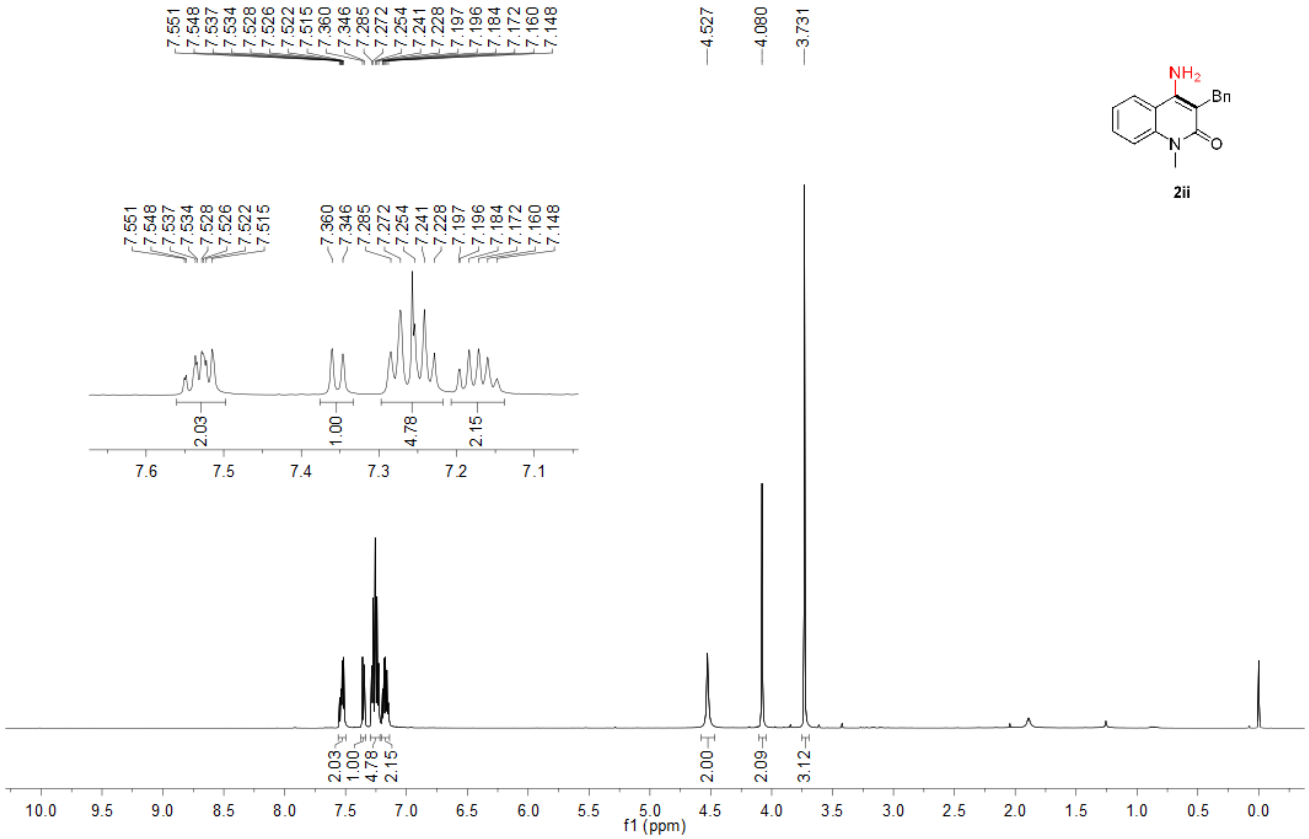


^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



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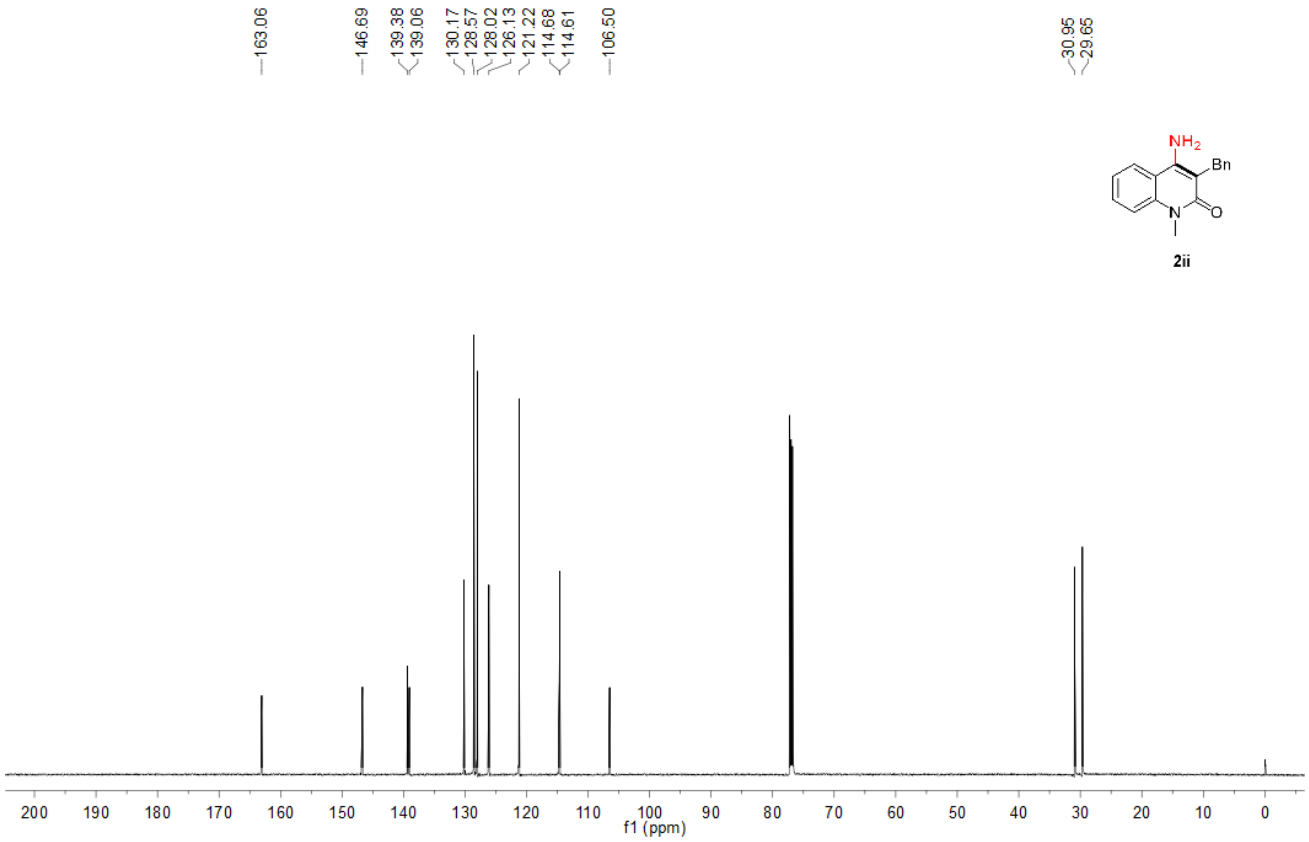
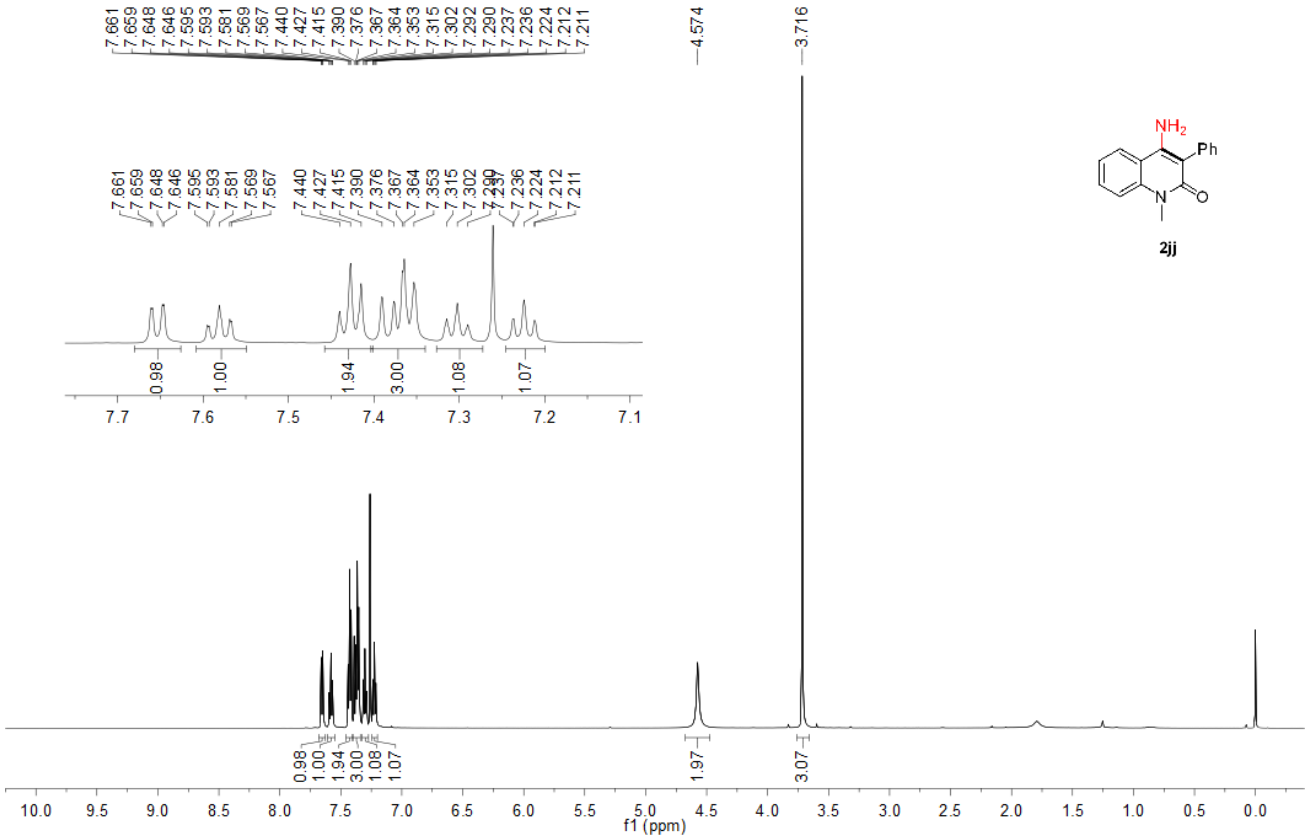
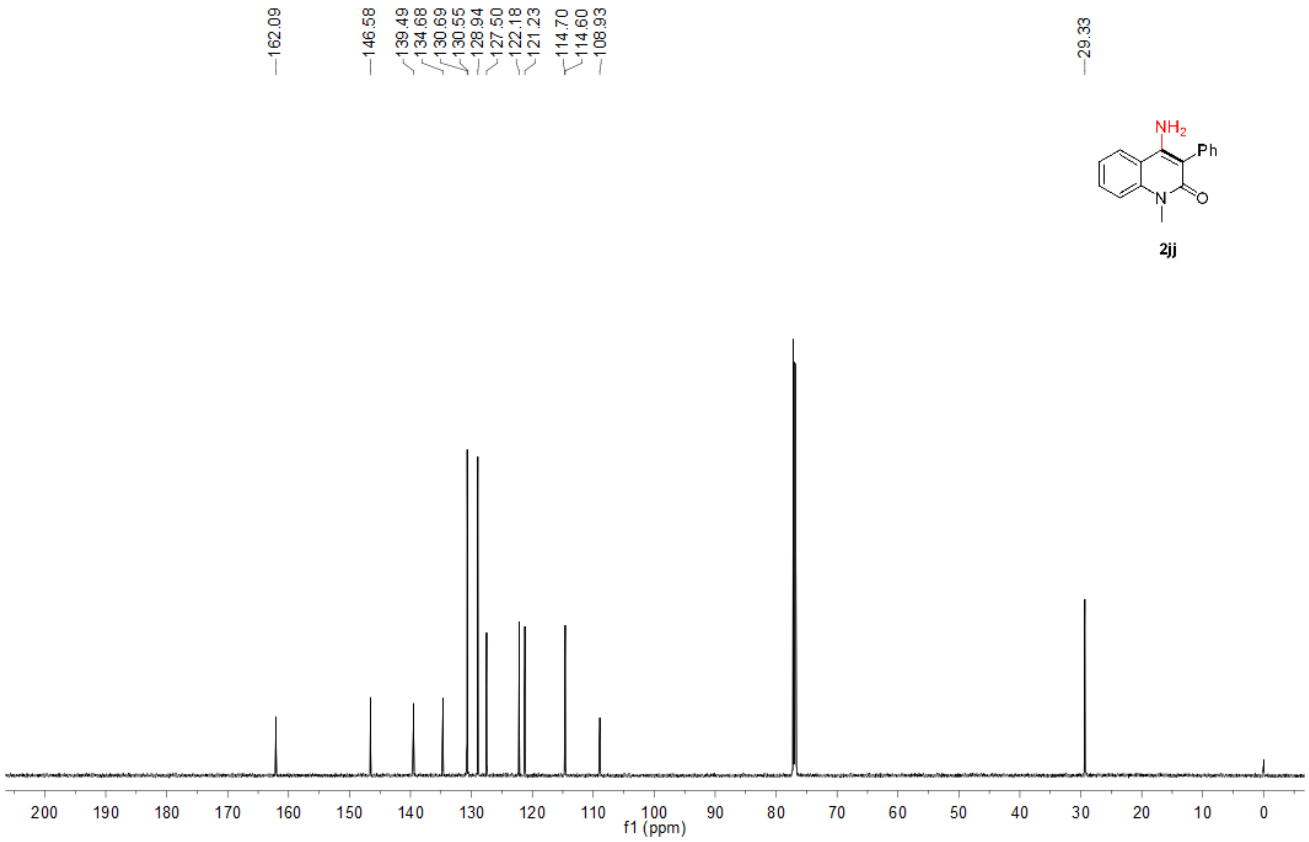


^{1}H NMR, 600 MHz, $CDCl_3$



2jj

^{13}C NMR, 151 MHz, $CDCl_3$



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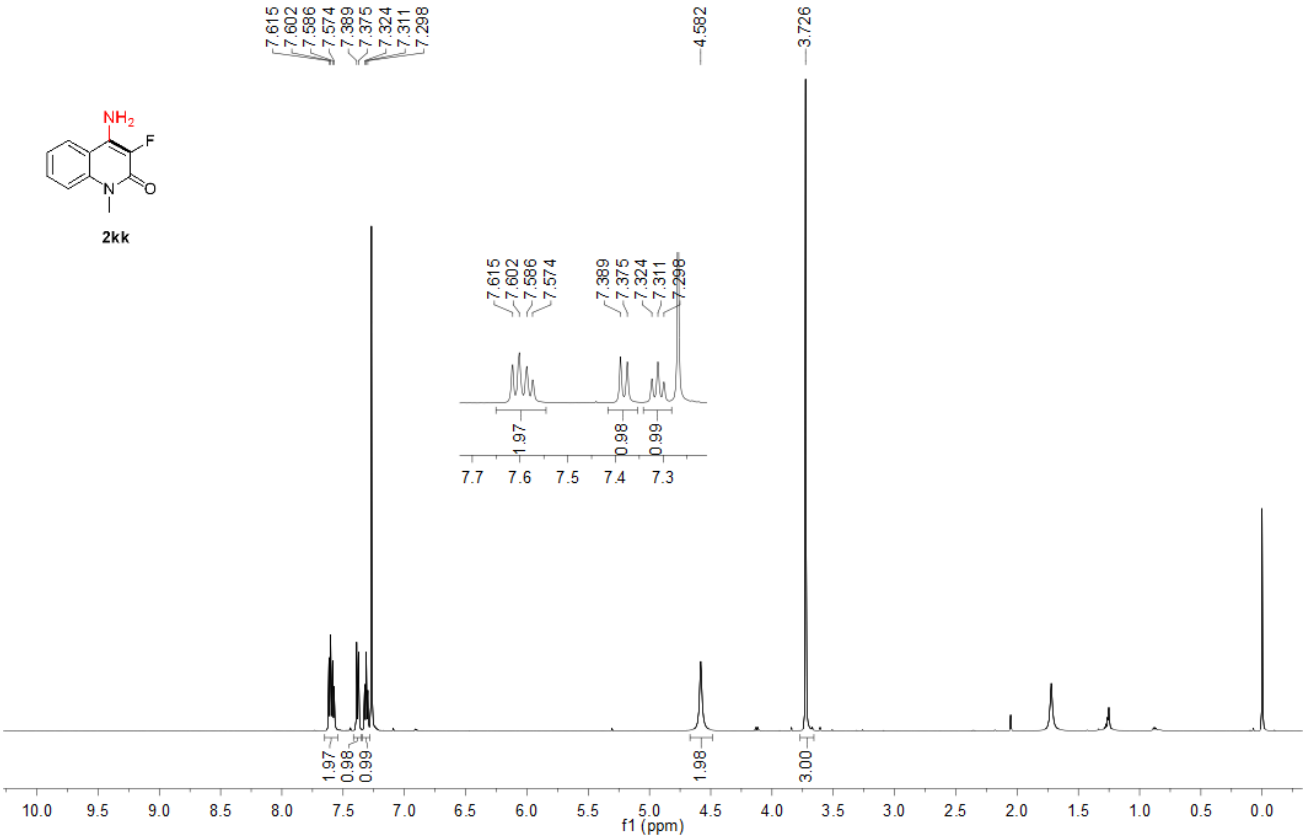
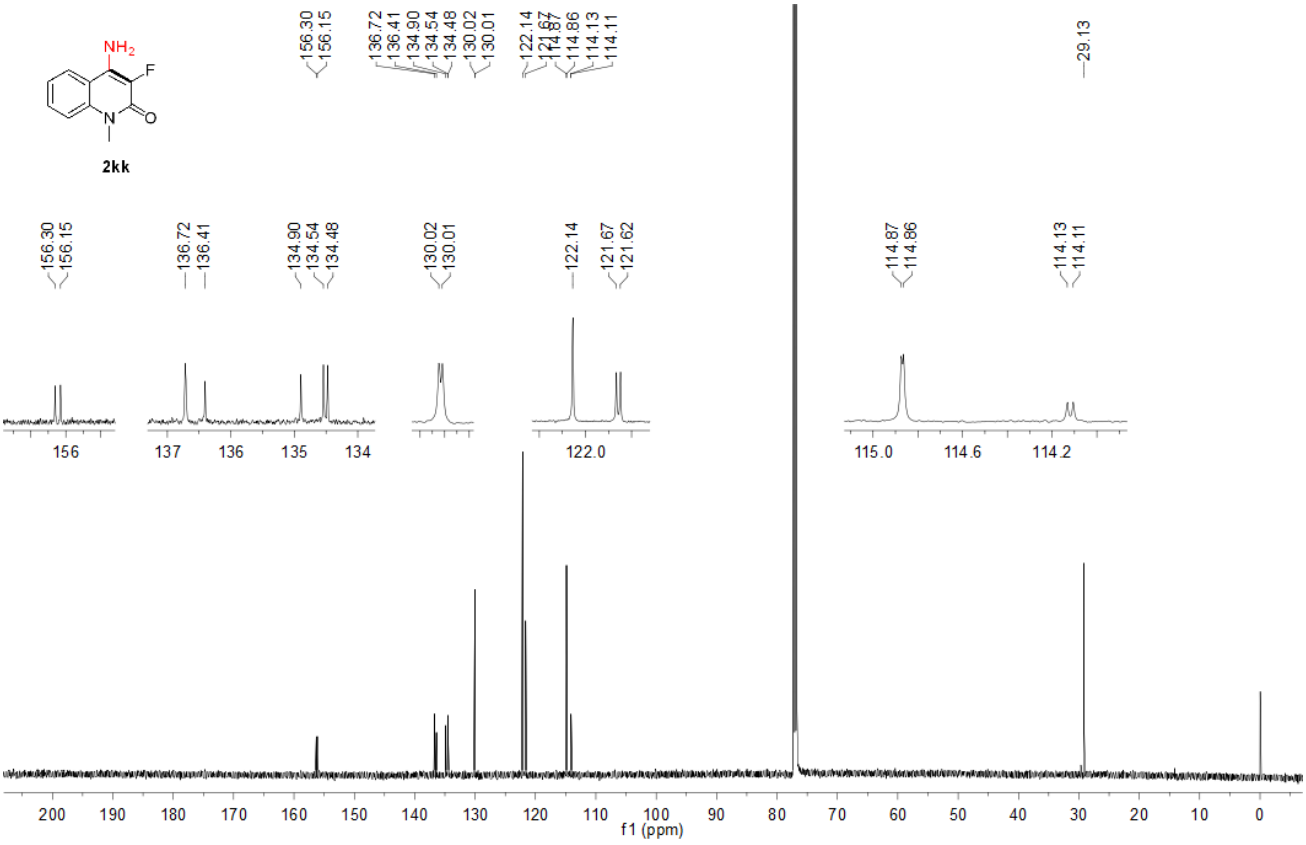
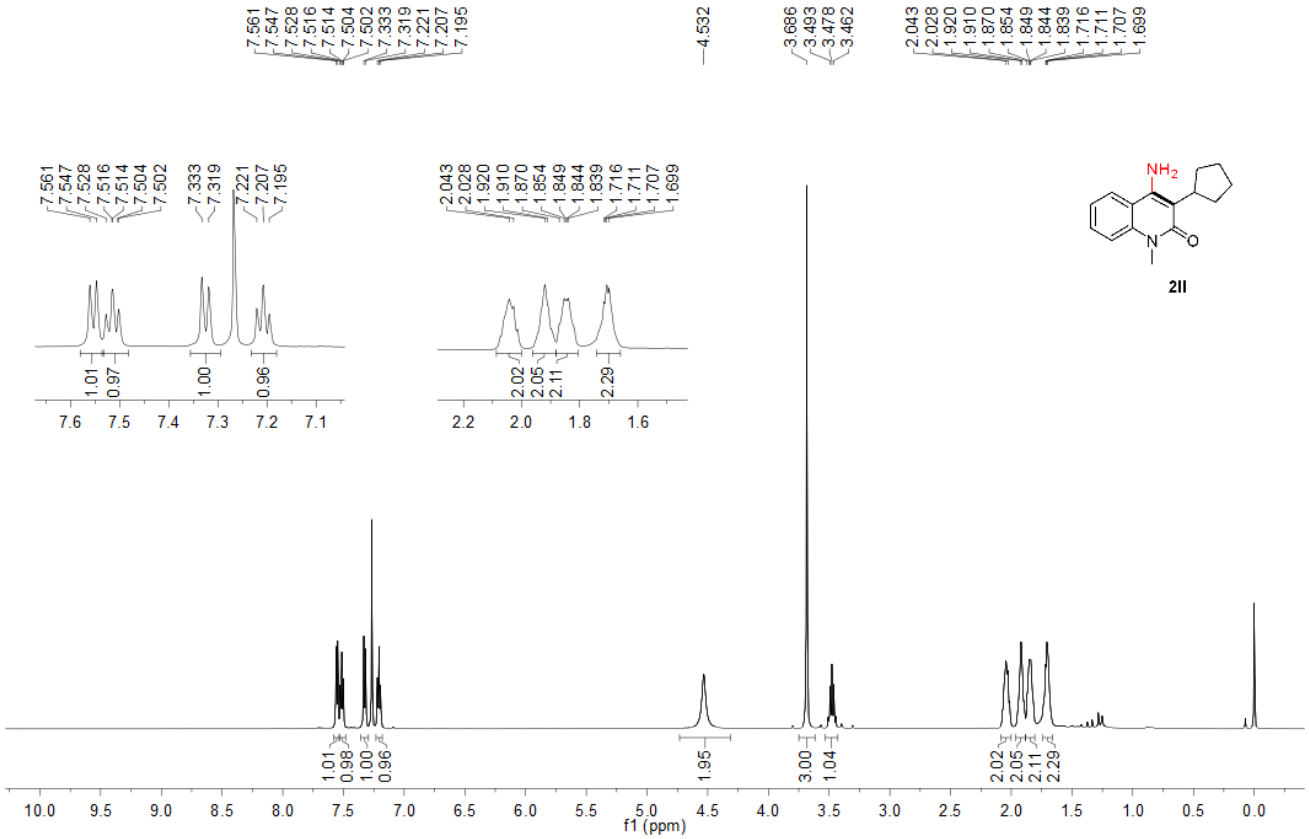
^{1}H NMR, 600 MHz, $CDCl_3$



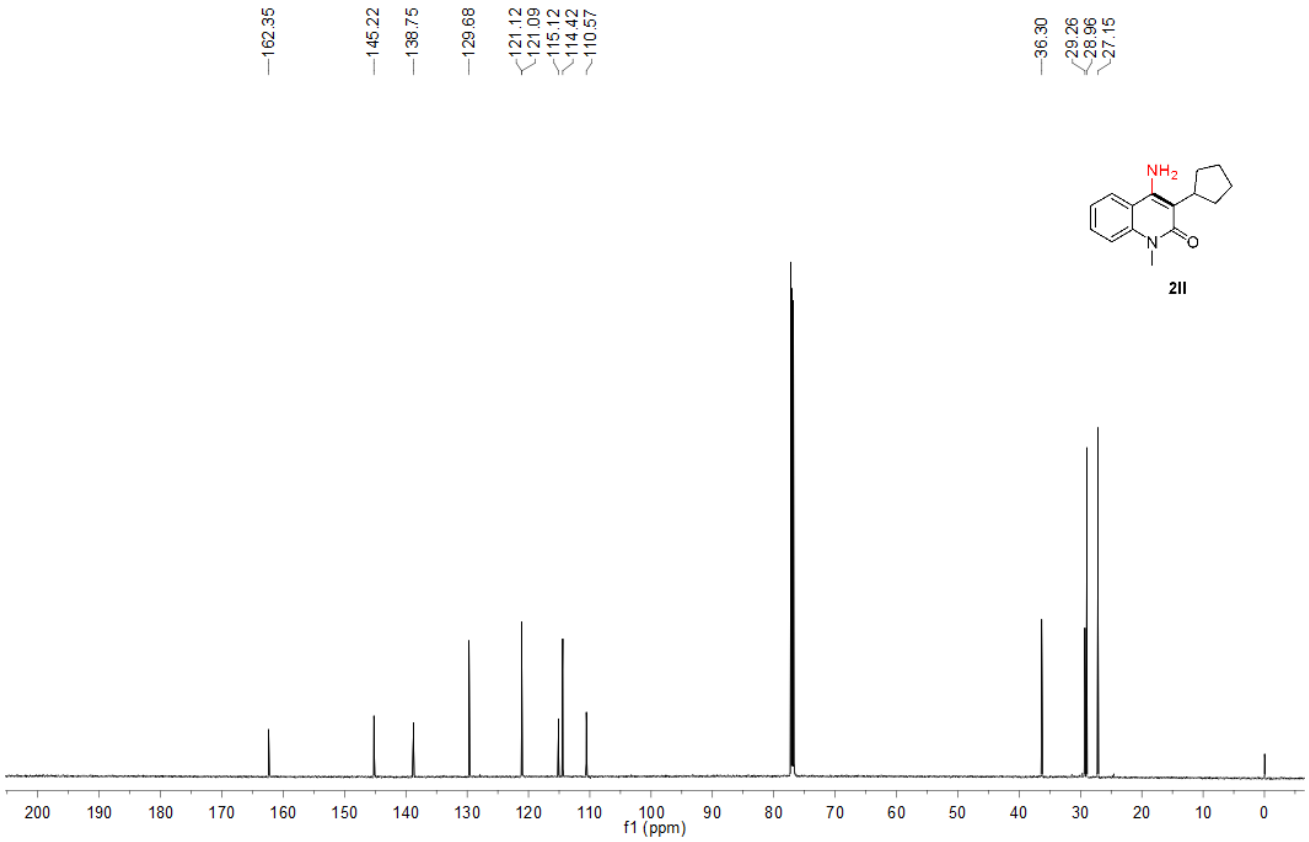
^{13}C NMR, 151 MHz, $CDCl_3$



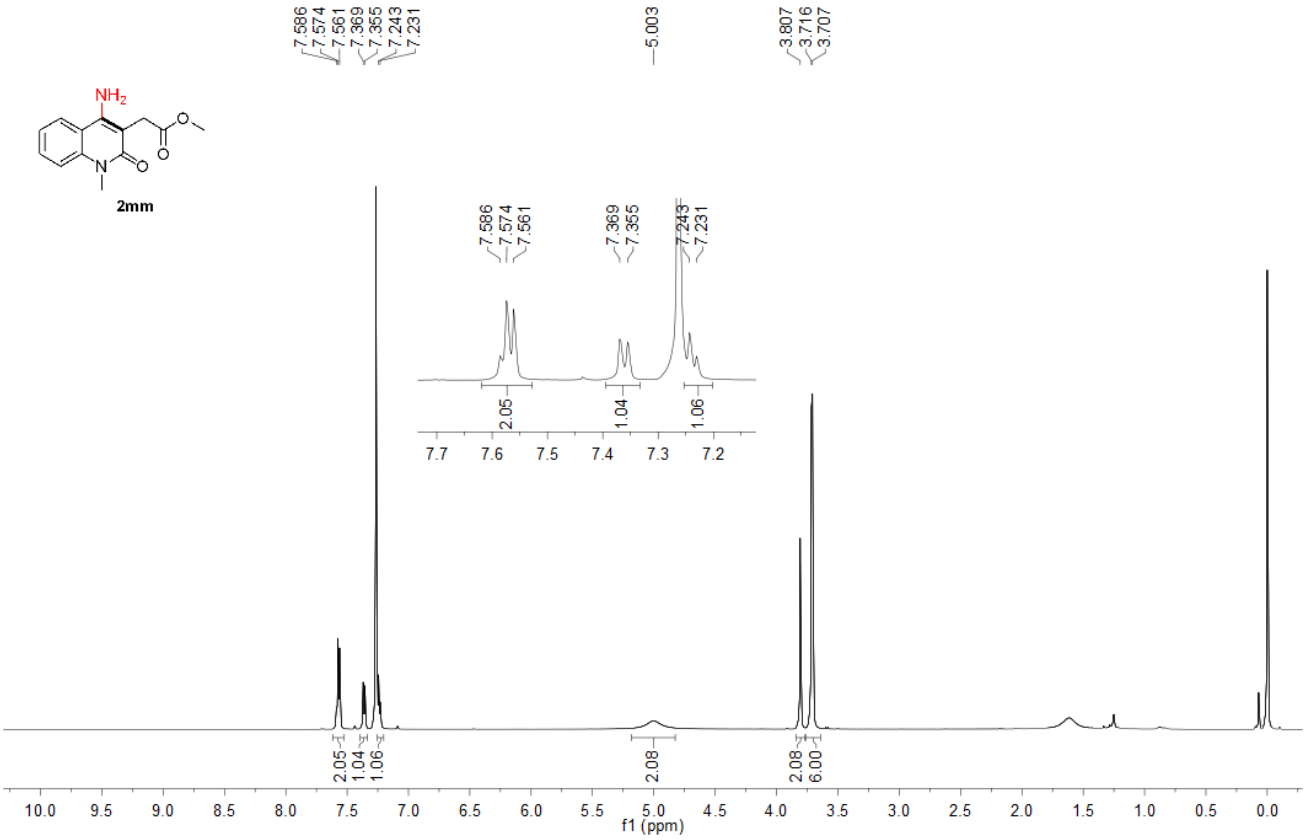
^{1}H NMR, 600 MHz, $CDCl_3$



^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, $CDCl_3$



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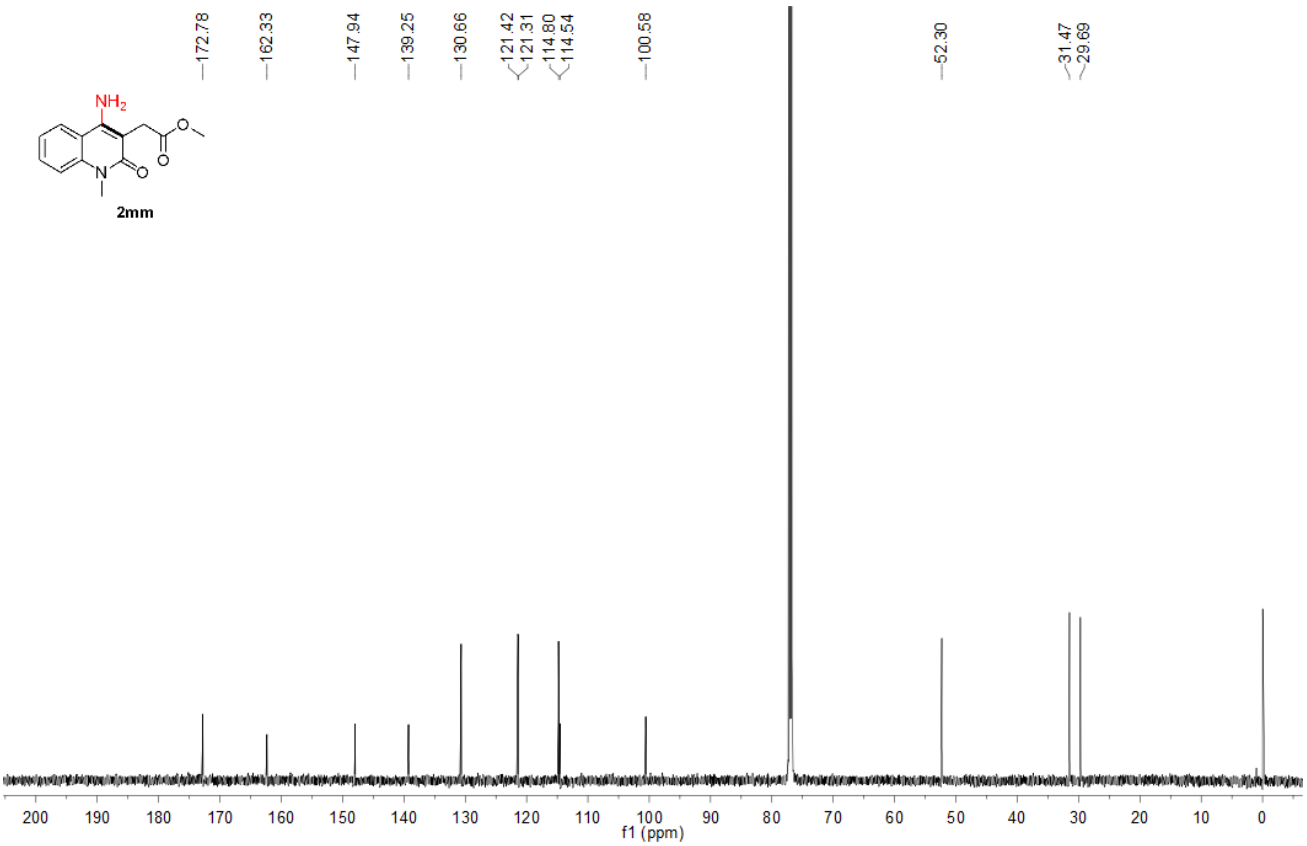
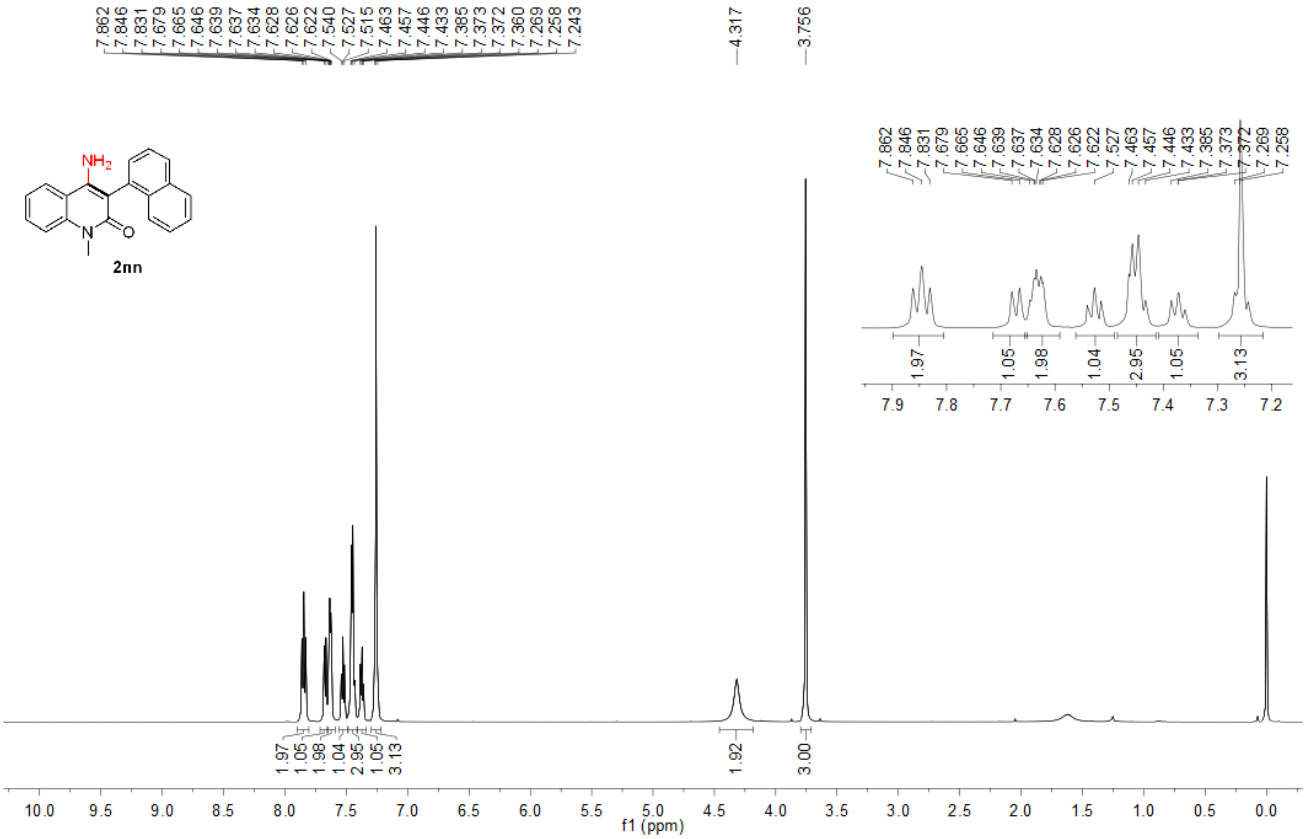
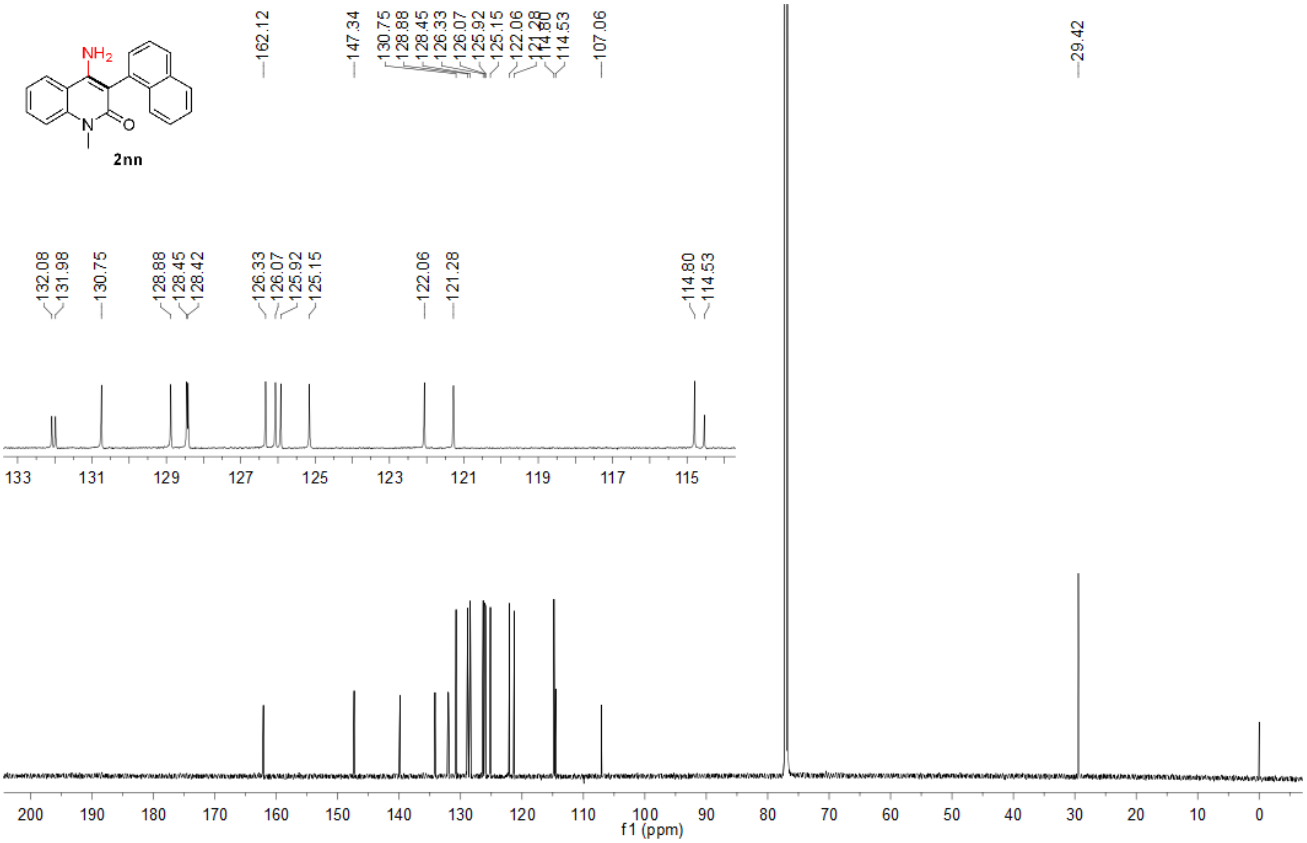


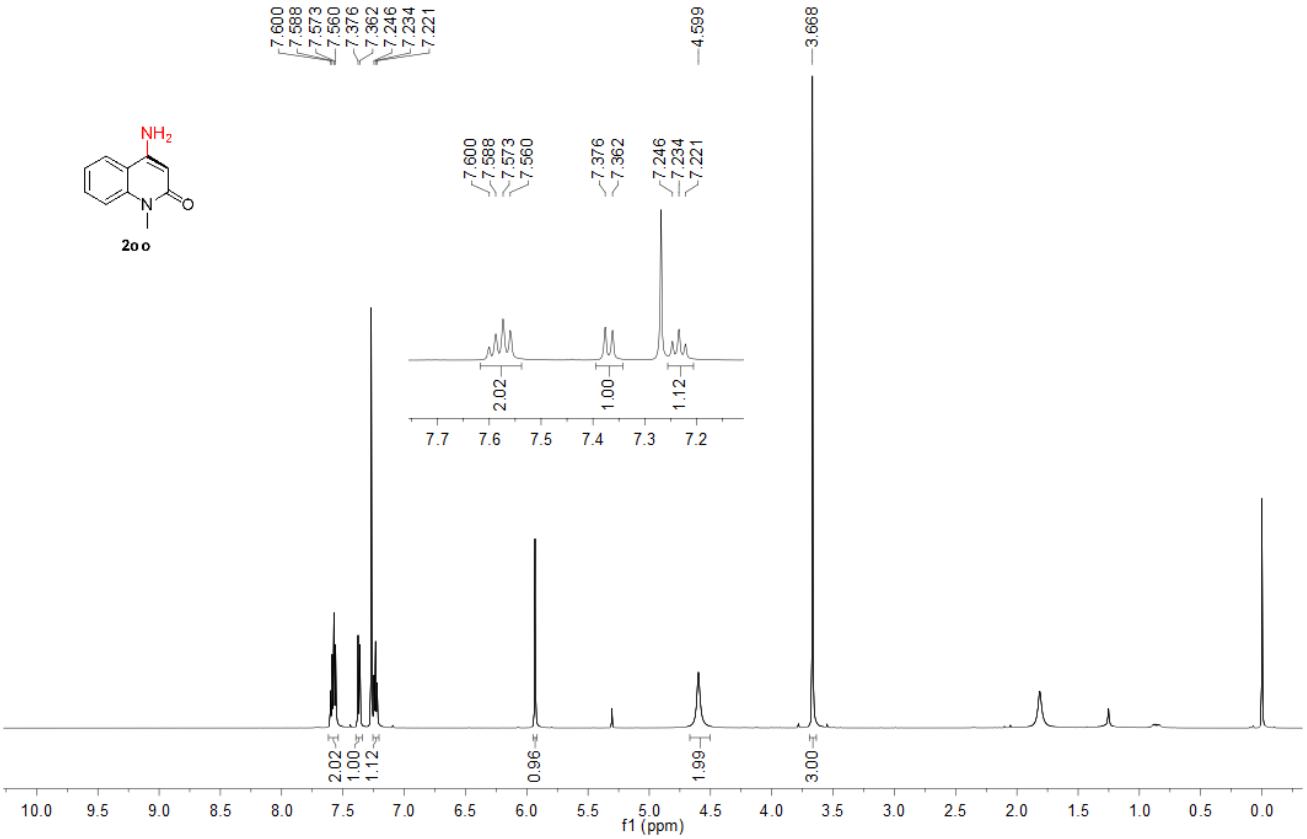
^{1}H NMR, 600 MHz, $CDCl_3$



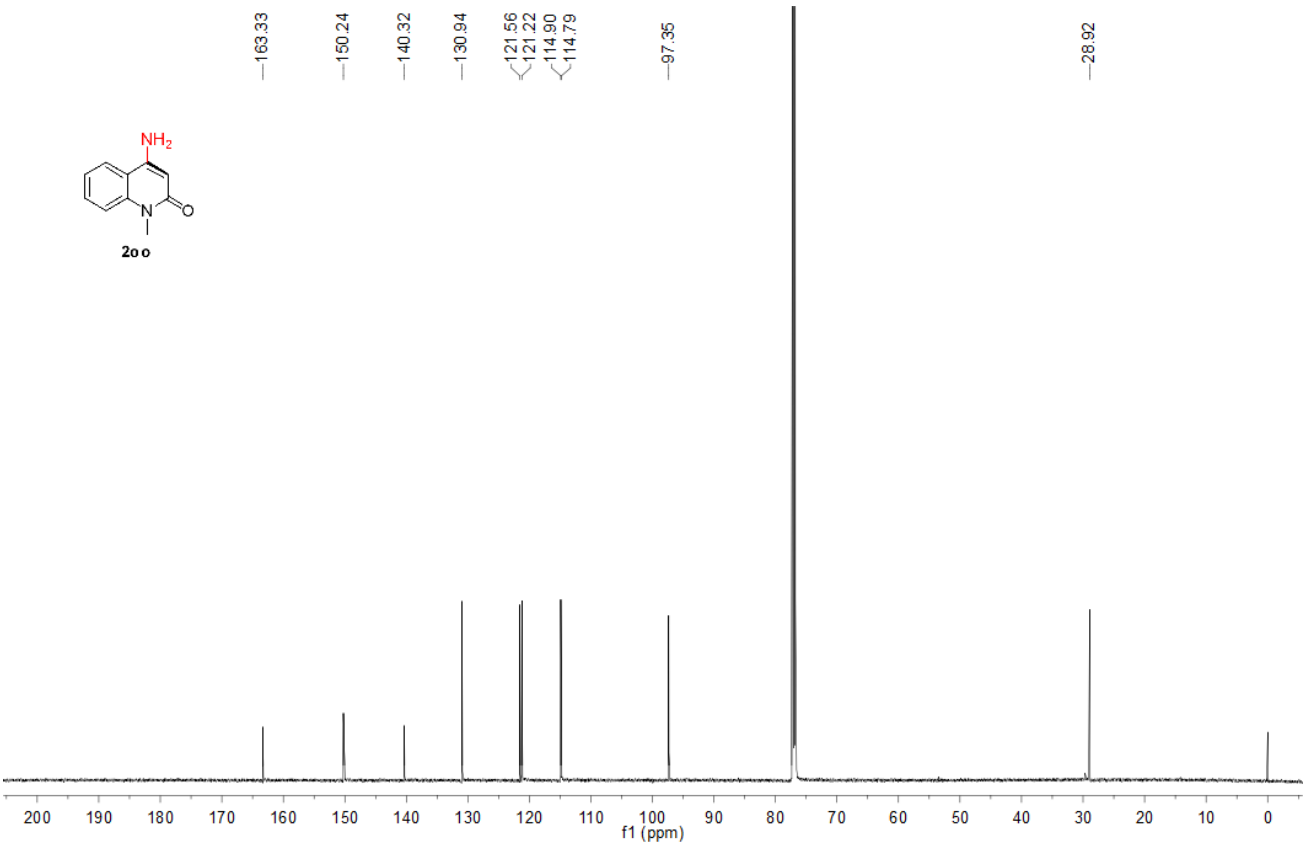
^{13}C NMR, 151 MHz, $CDCl_3$



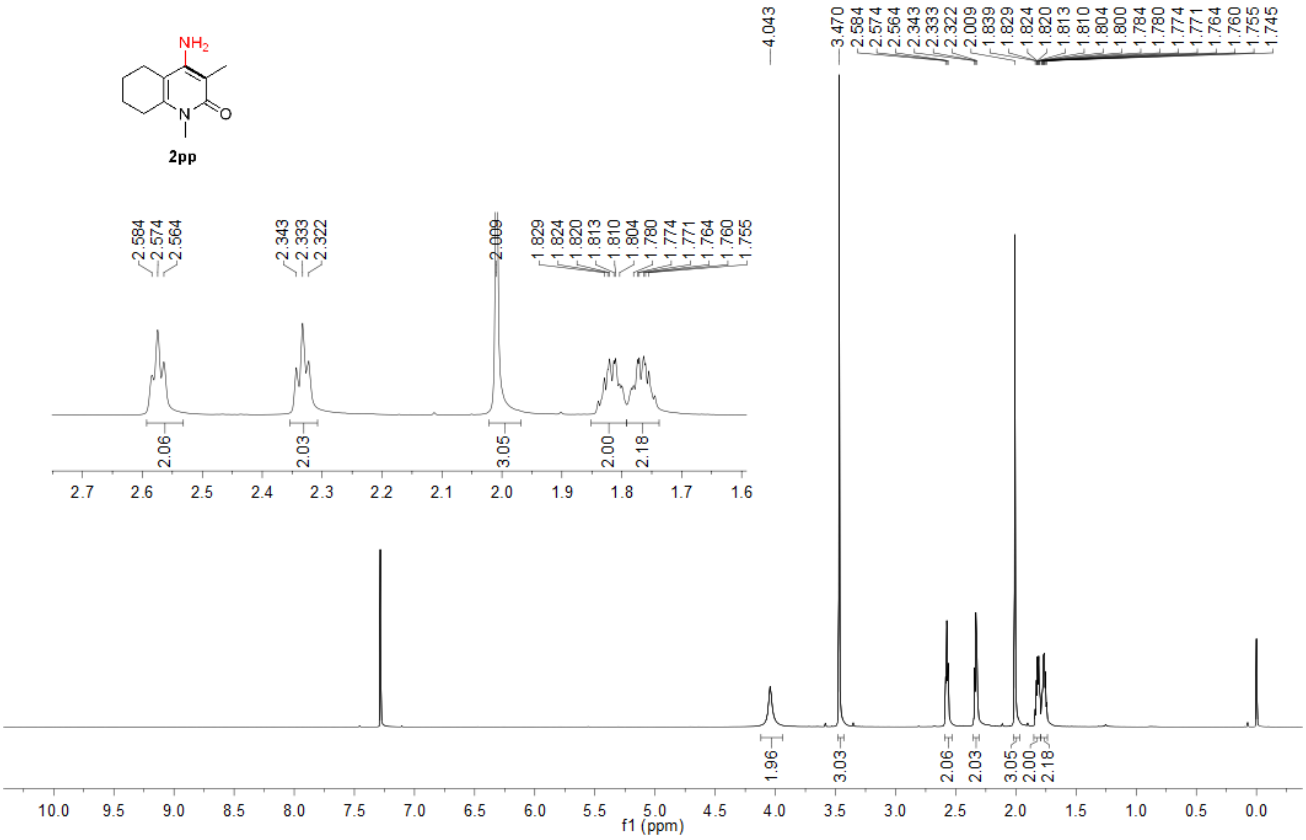
^{1}H NMR, 600 MHz, $CDCl_3$



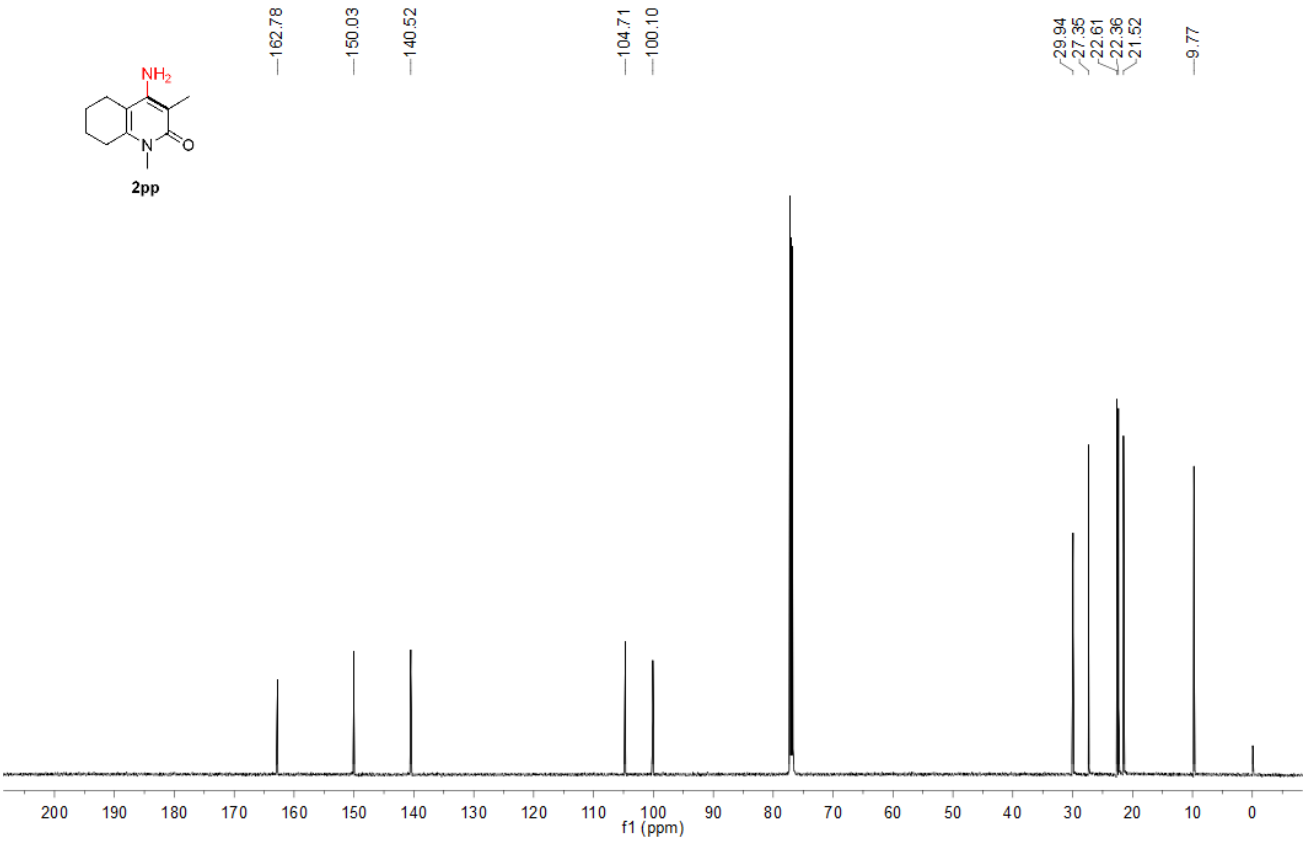
^{13}C NMR, 151 MHz, $CDCl_3$



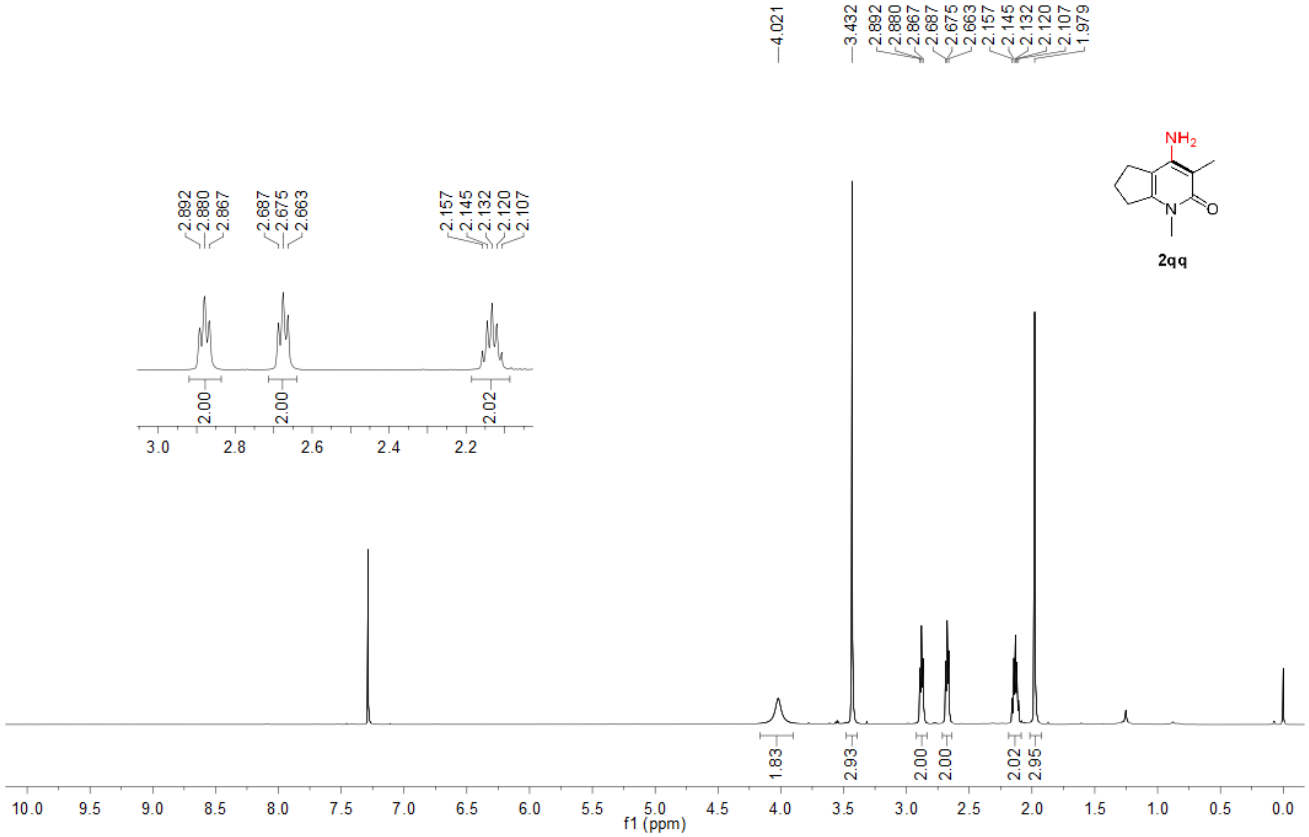
^{1}H NMR, 600 MHz, $CDCl_3$



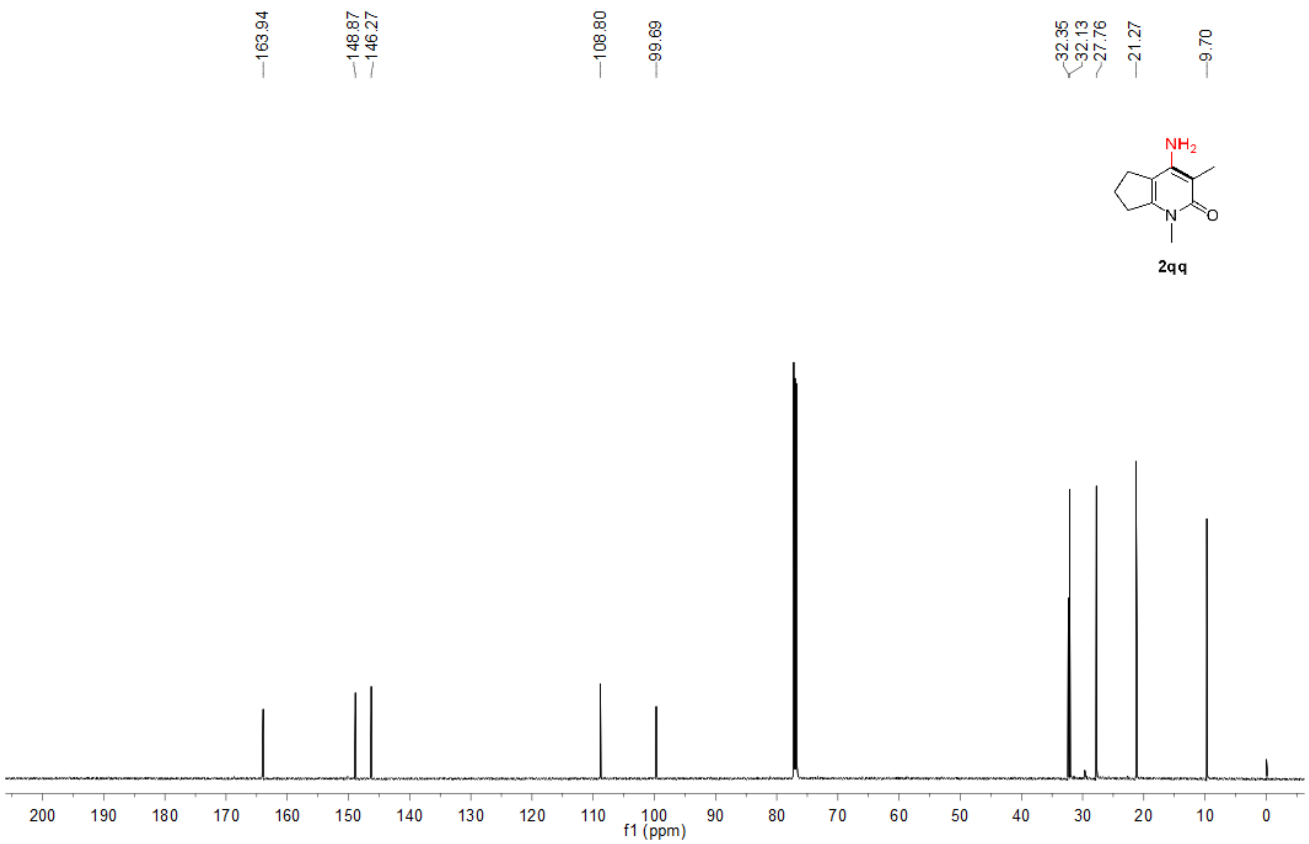
^{13}C NMR, 151 MHz, $CDCl_3$



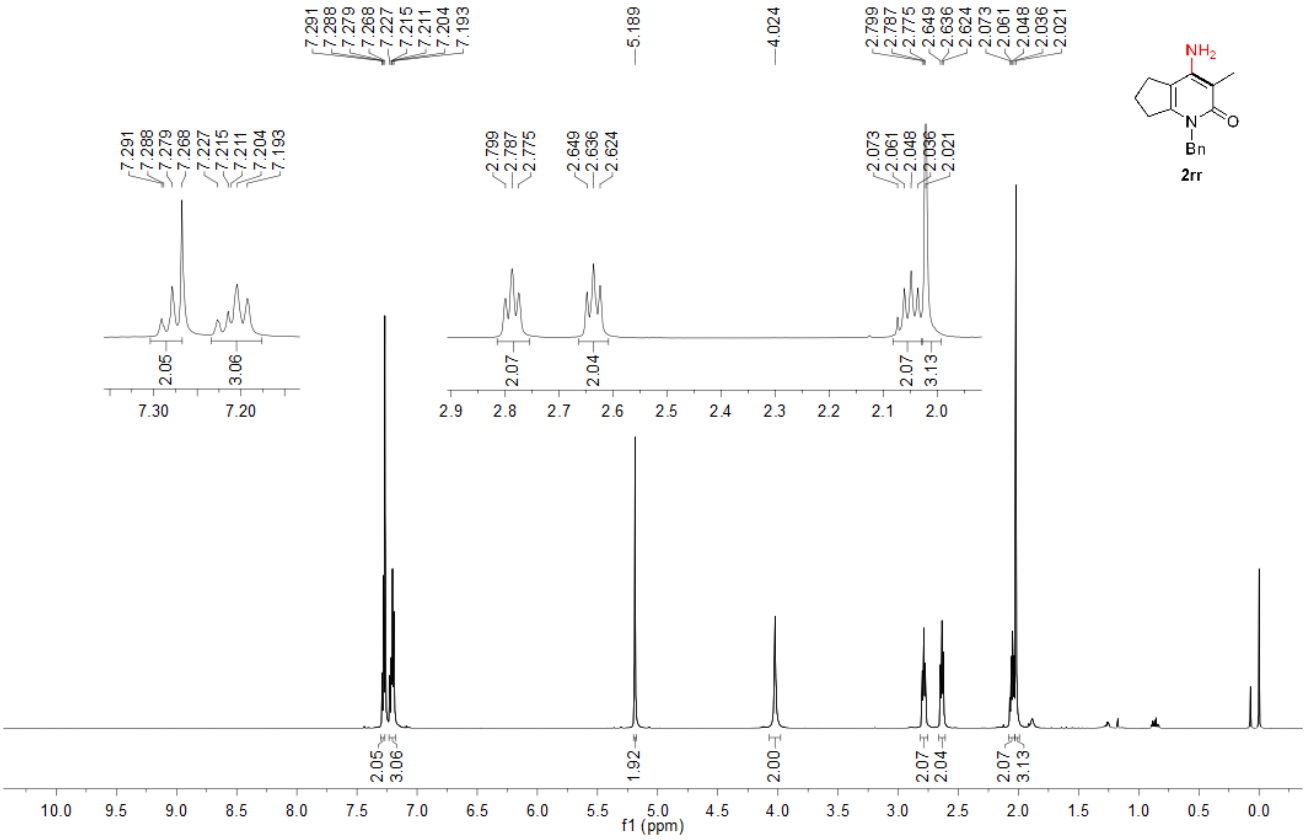
^{1}H NMR, 600 MHz, $CDCl_3$



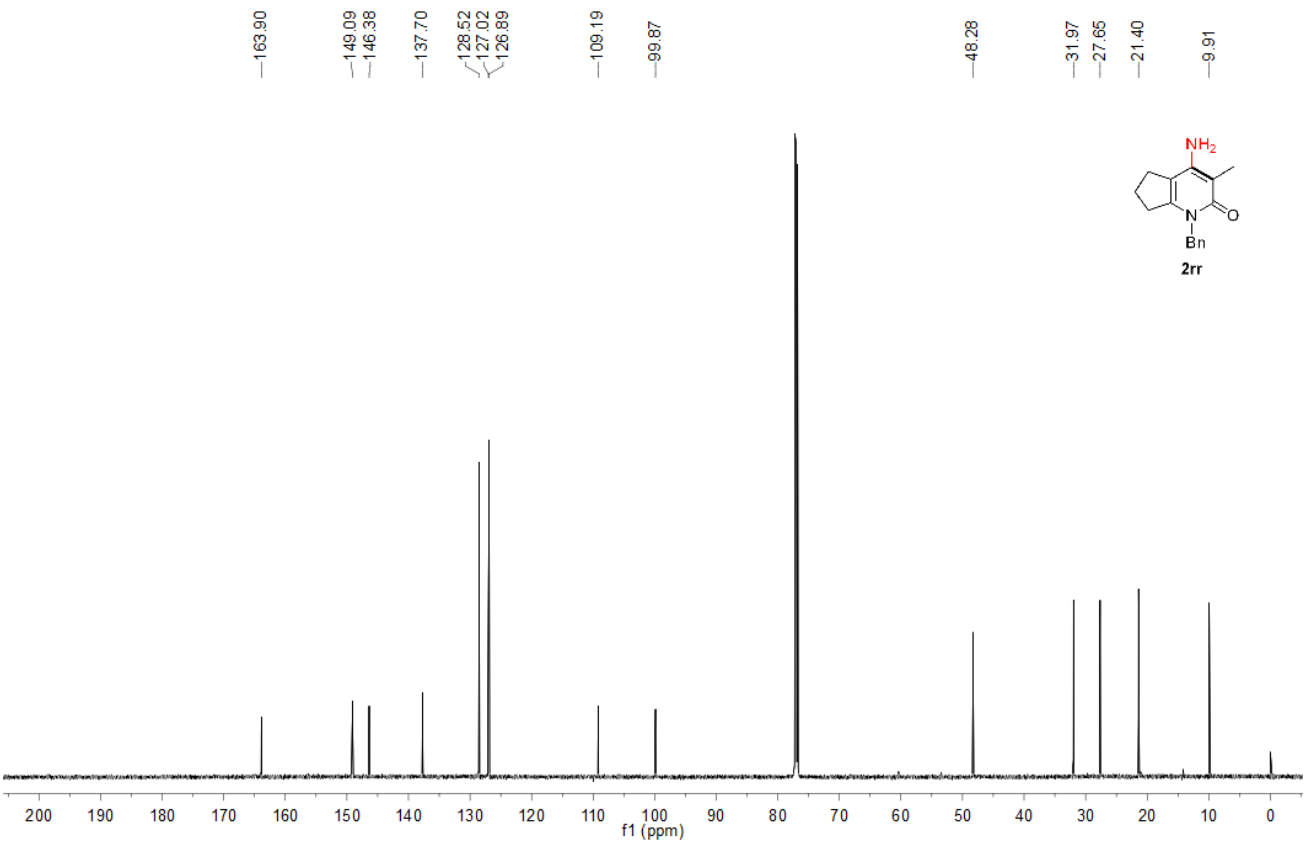
^{13}C NMR, 151 MHz, $CDCl_3$



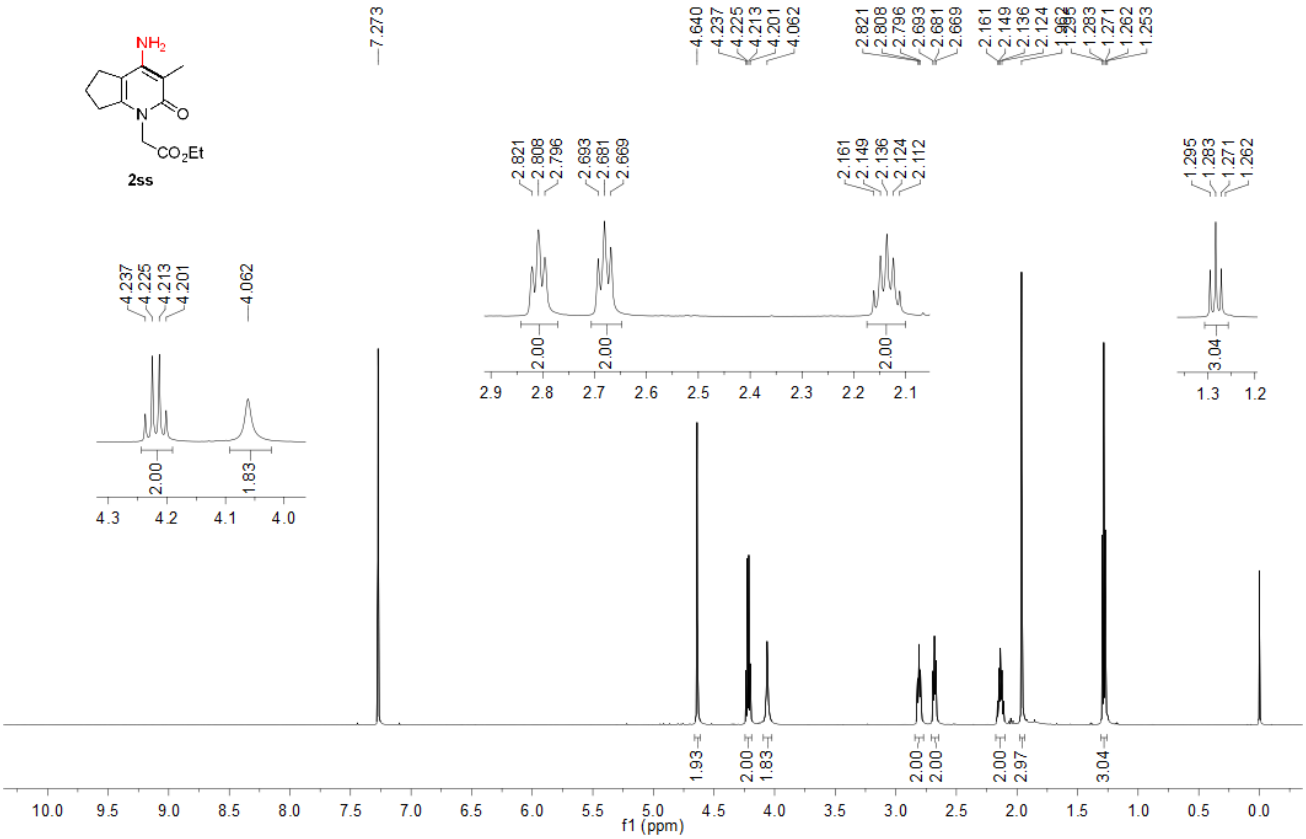
^{1}H NMR, 600 MHz, $CDCl_3$



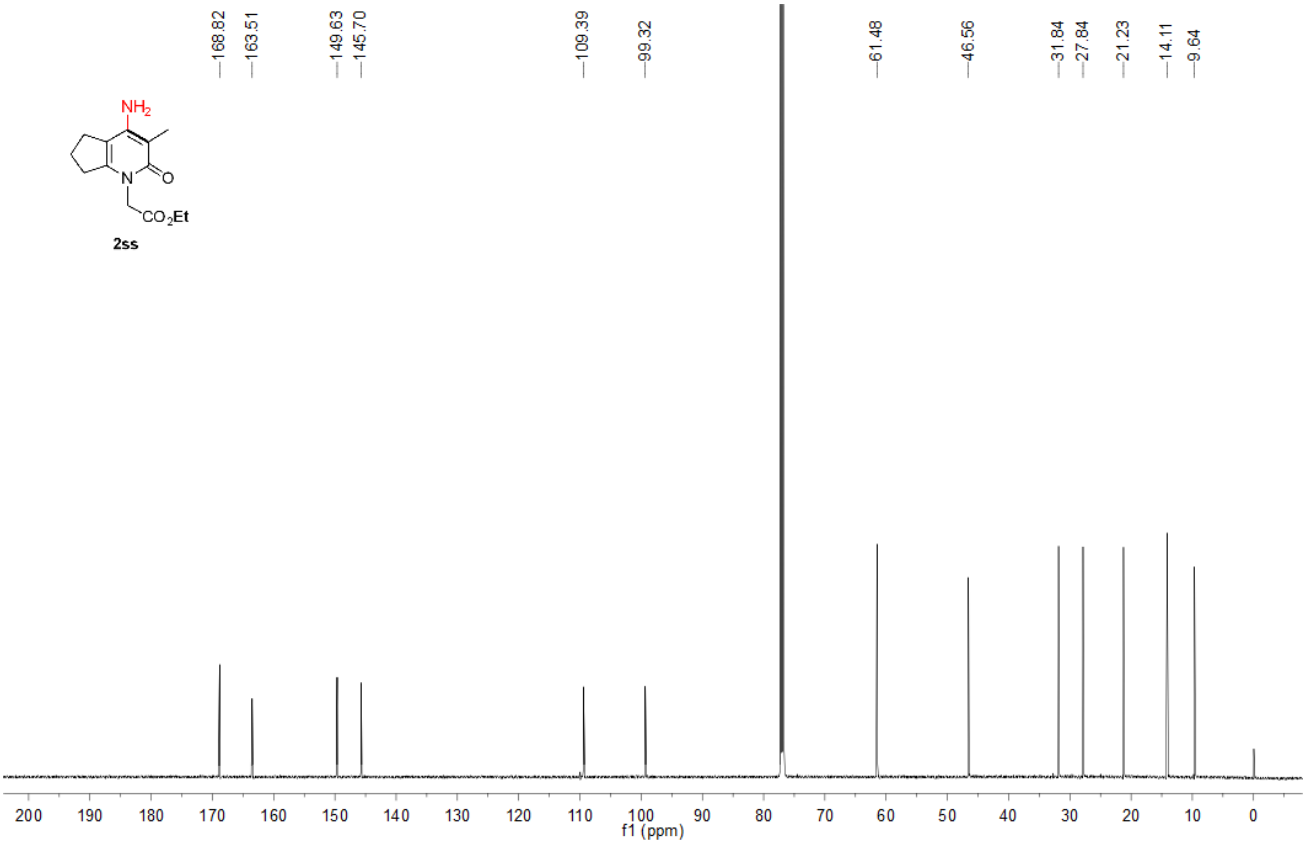
^{13}C NMR, 151 MHz, $CDCl_3$



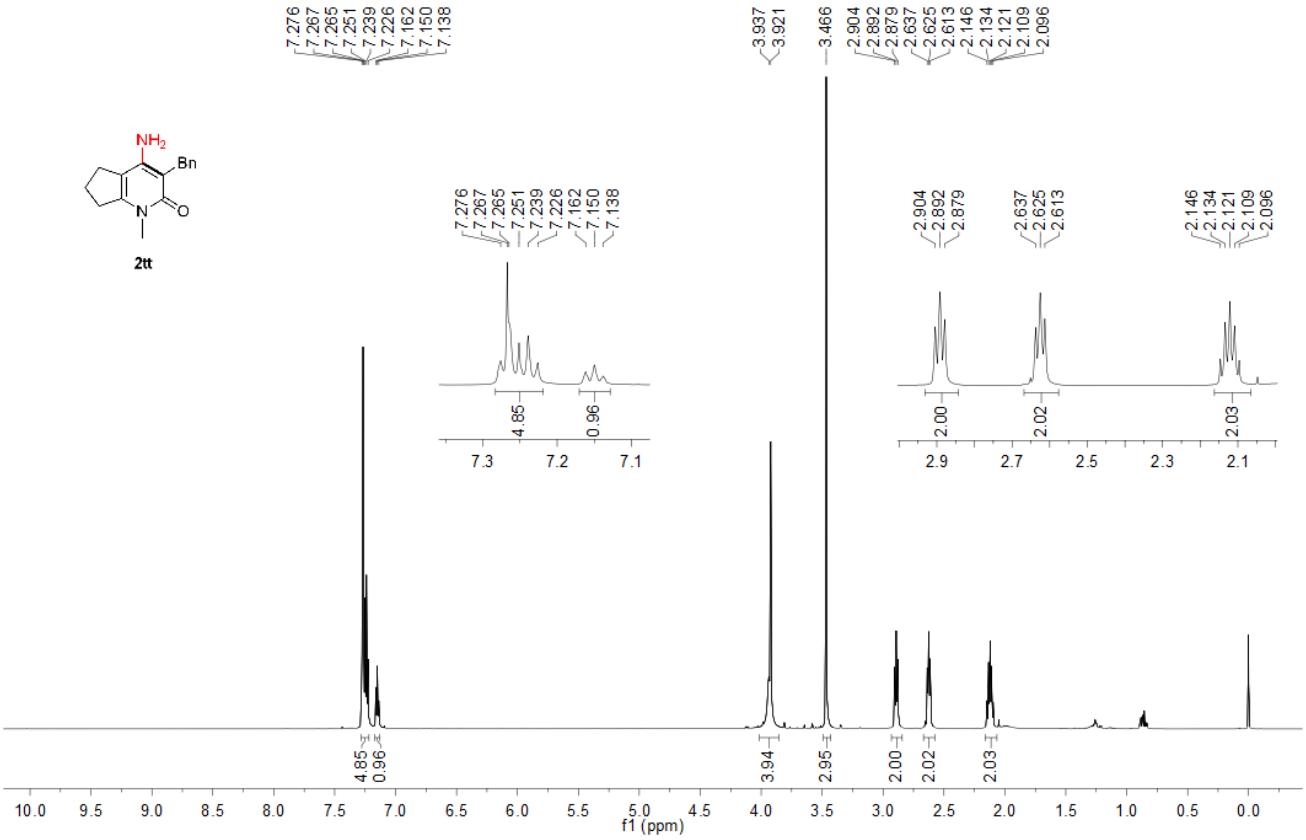
^{1}H NMR, 600 MHz, $CDCl_3$



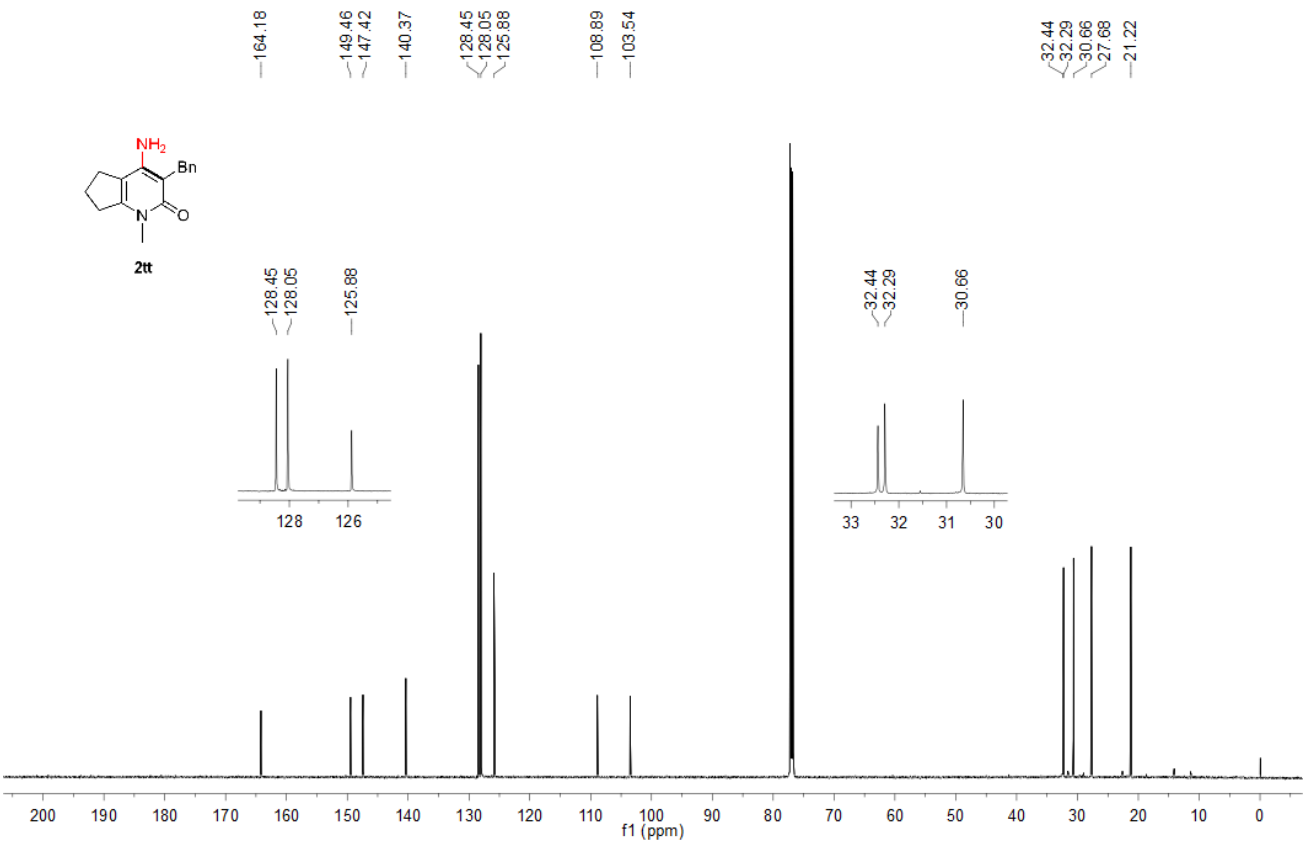
^{13}C NMR, 151 MHz, $CDCl_3$



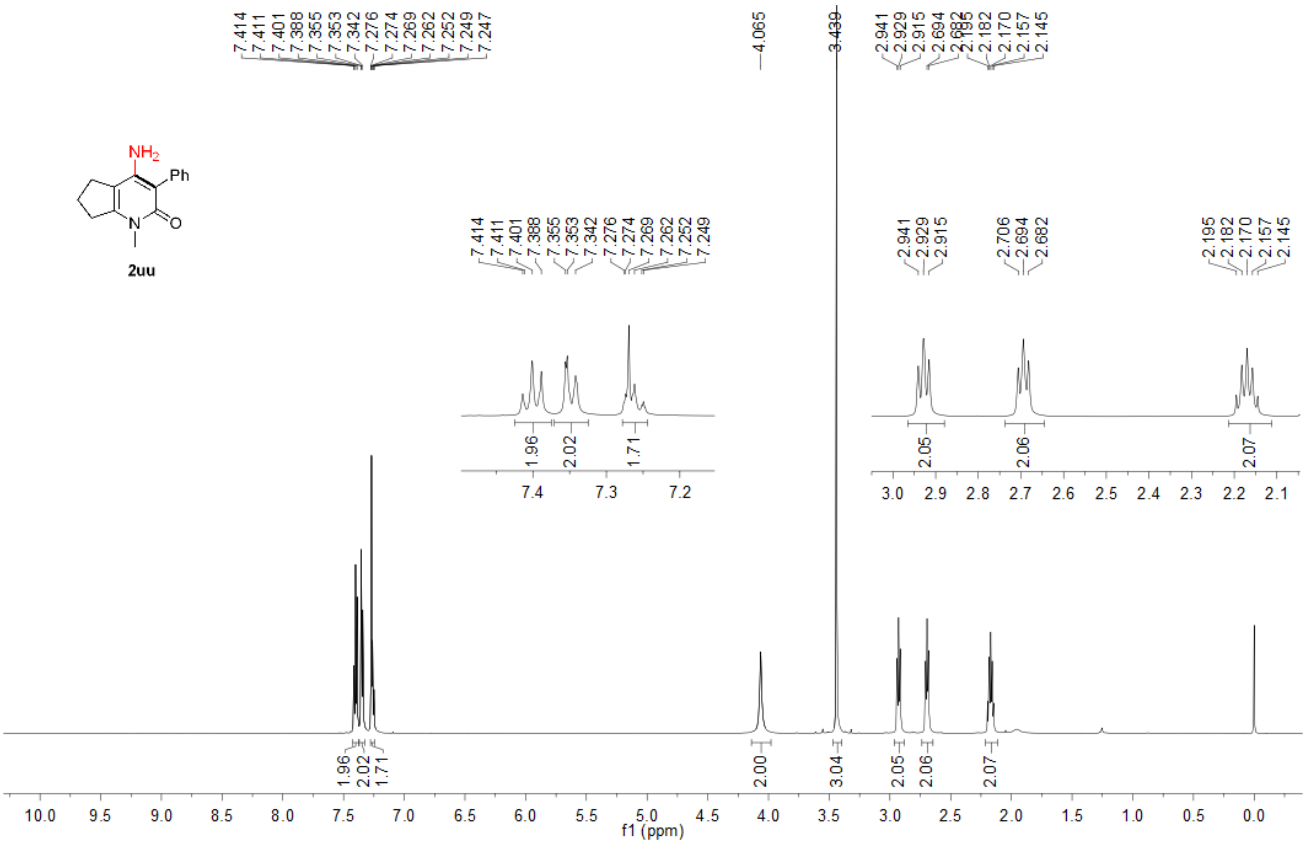
^{1}H NMR, 600 MHz, $CDCl_3$



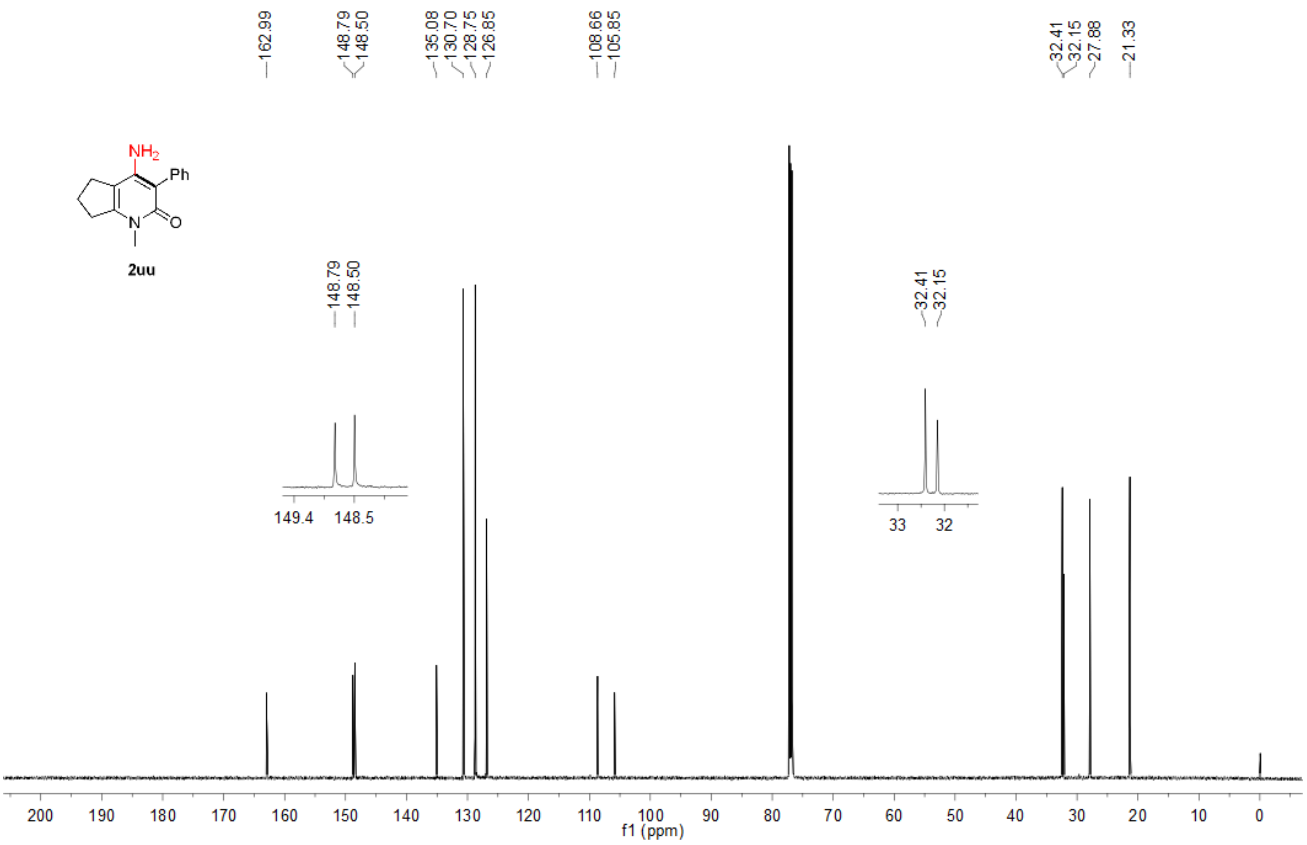
^{13}C NMR, 151 MHz, $CDCl_3$



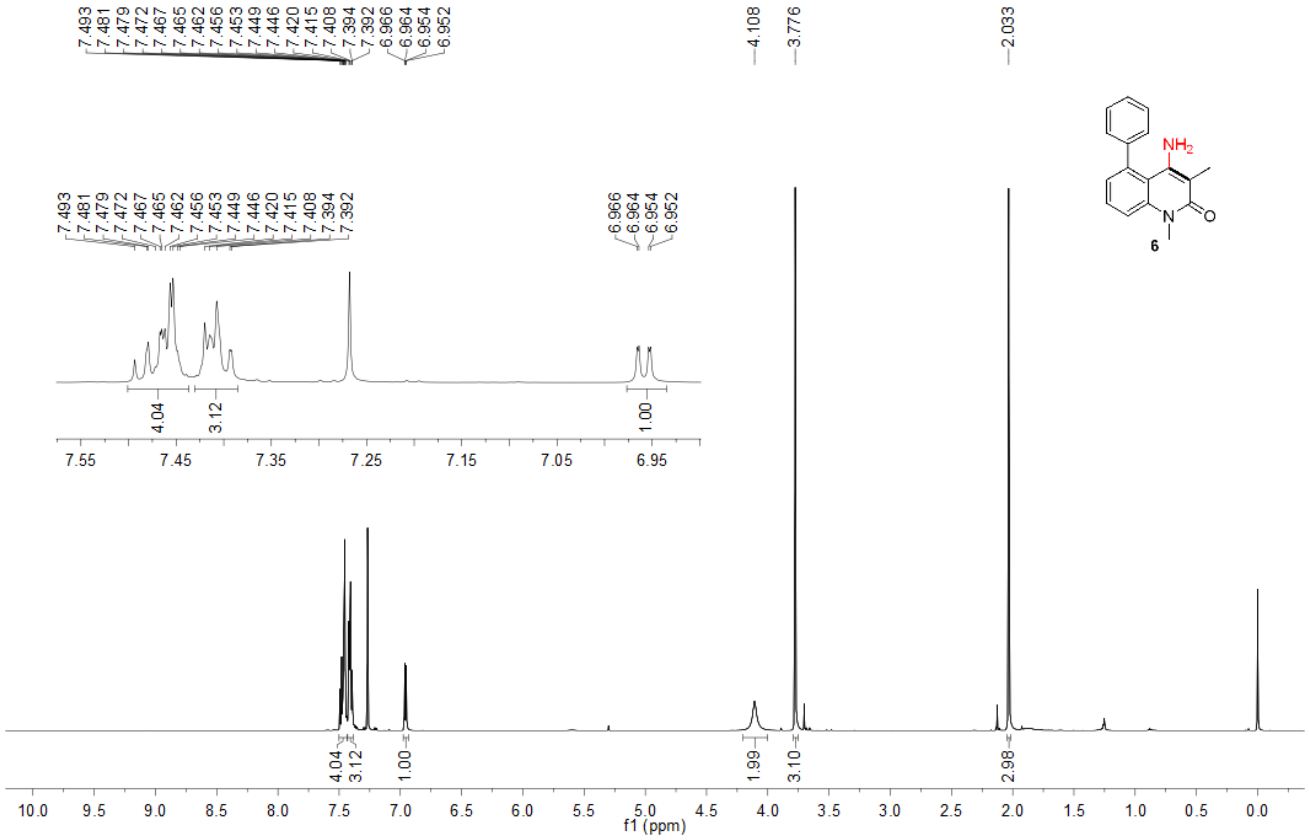
^{1}H NMR, 600 MHz, $CDCl_3$



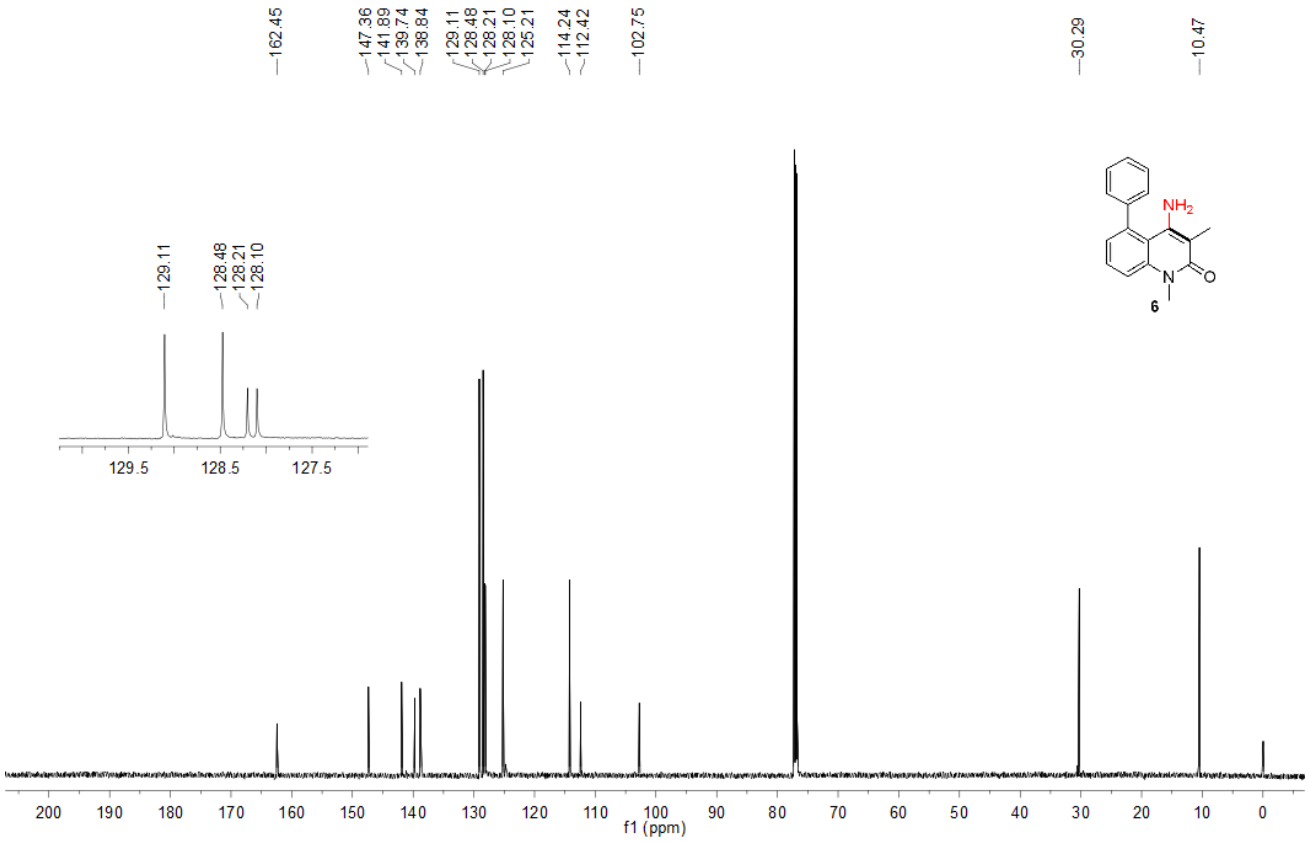
^{13}C NMR, 151 MHz, $CDCl_3$



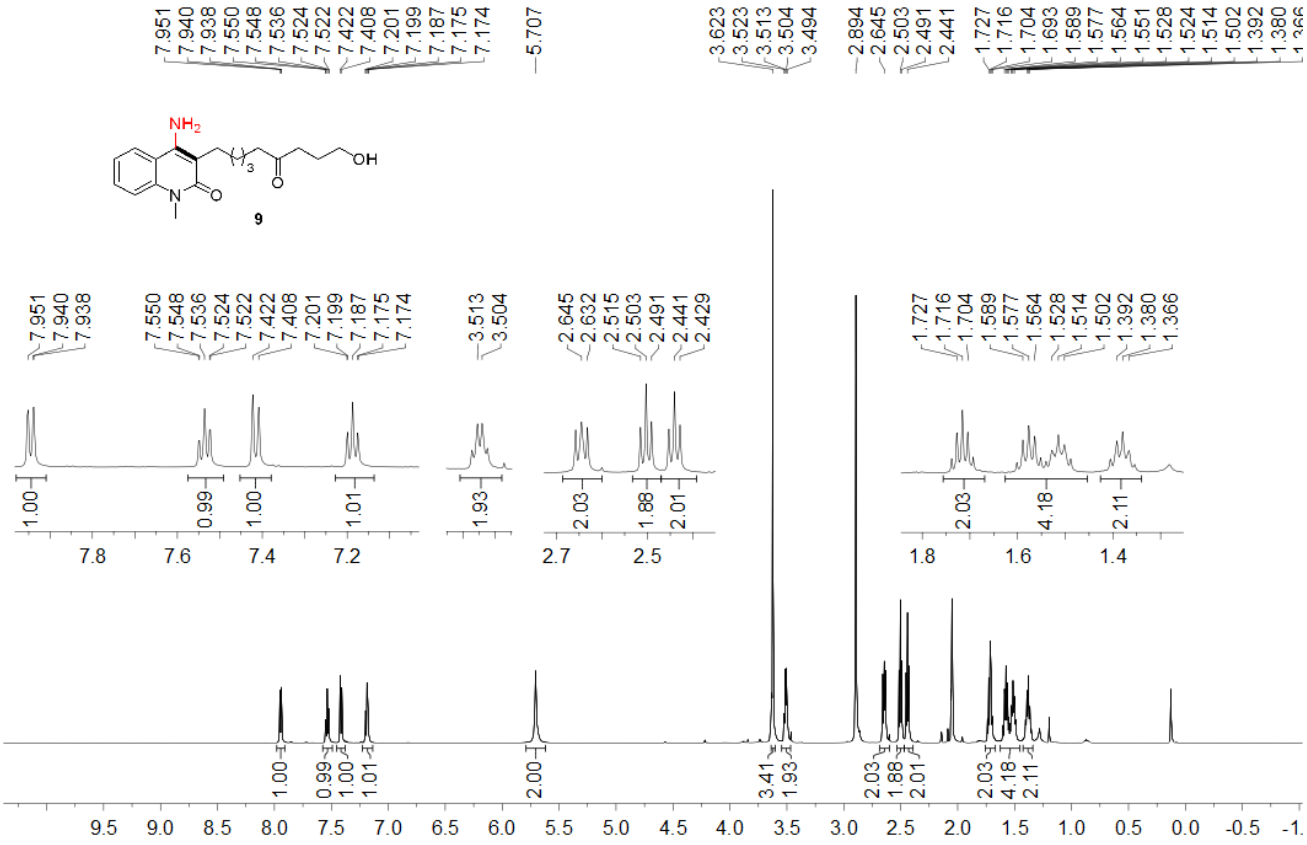
^{1}H NMR, 600 MHz, $CDCl_3$



^{13}C NMR, 151 MHz, $CDCl_3$



^{1}H NMR, 600 MHz, acetone-d_6



^{13}C NMR, 151 MHz, acetone-d_6