

Supporting Information for

Cascade Reaction Involving Diels-Alder Cascade: Modular Synthesis of Amino α -Pyrones, Indolines and Anilines

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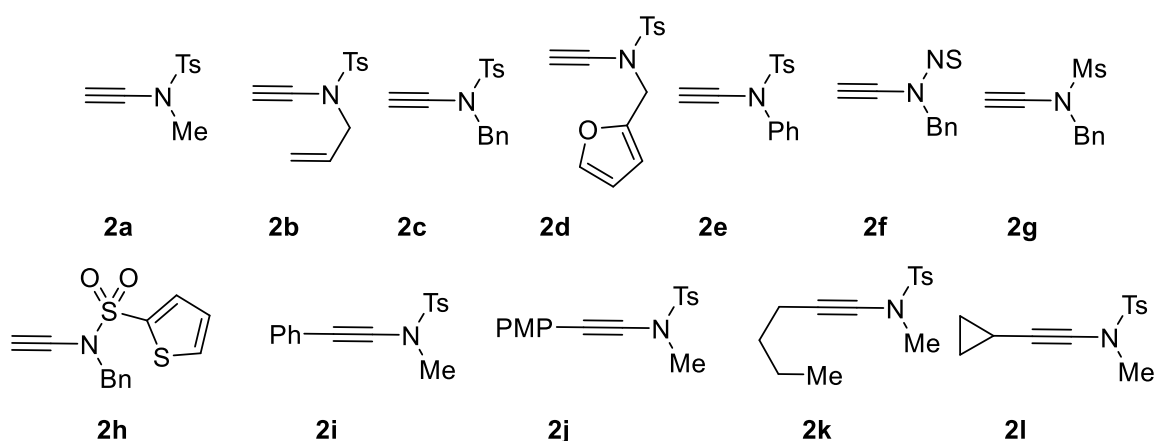
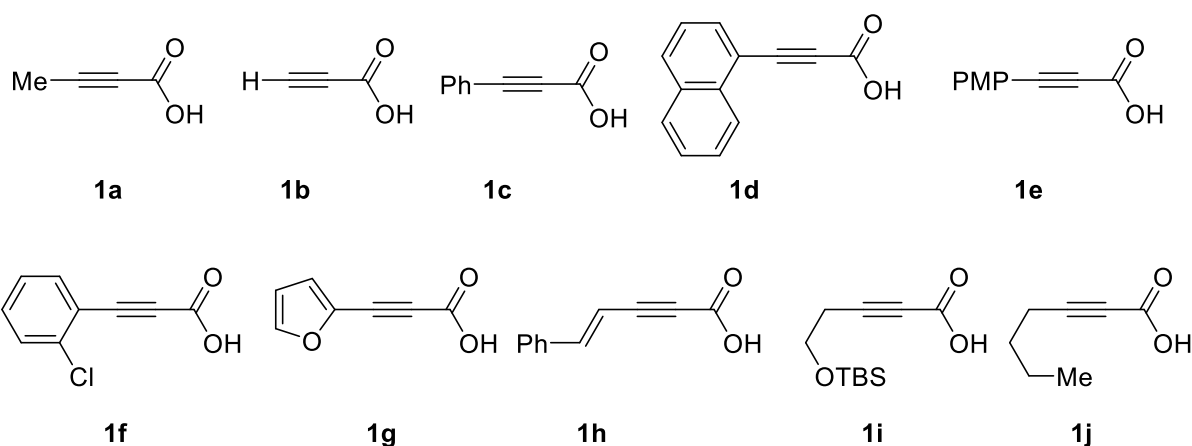
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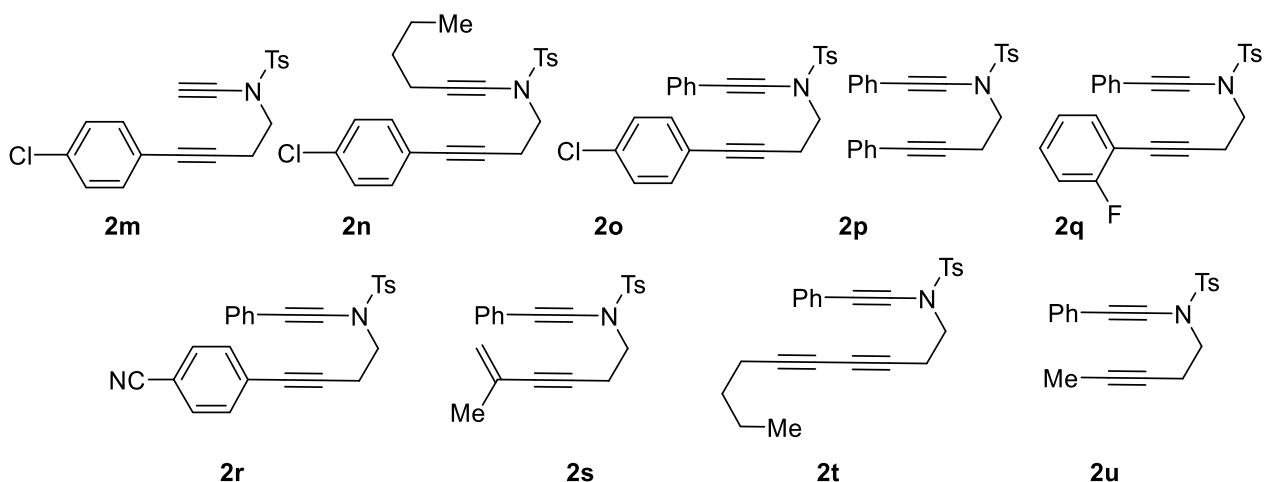
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1. General Information

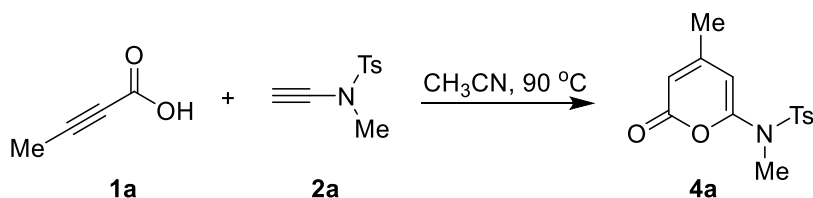
Infrared spectra were obtained on a FTIR spectrometer. ^1H NMR and ^{13}C NMR spectra were recorded on a WNMRI-400 spectrometer and a BRUKER AVANCE III 600 spectrometer. CDCl_3 was used as solvent. Chemical shifts were referenced relative to residual solvent. The following abbreviations are used to describe peak patterns where appropriate: s = singlet, d = doublet, t = triplet, and coupling constants (J) are reported in Hertz (Hz). The HRMS were performed on Waters GCT Premier Time of Flight Mass Spectrometer (EI). Melting points were measured with micro melting point apparatus.

CH_2Cl_2 , ethyl acetate (EA), acetonitrile, toluene, petroleum ether (PE) were commercial available, propiolic acids (**1a-1j**)^[1] and ynamides (**2a-2u**)^{[2], [3], [4], [5]} were prepared according to the reported literature.

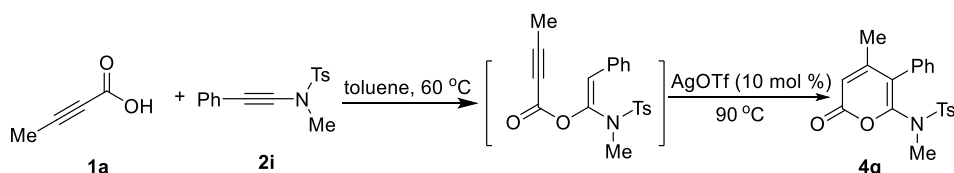




2. Typical Procedure for the Synthesis of 4a and 4q

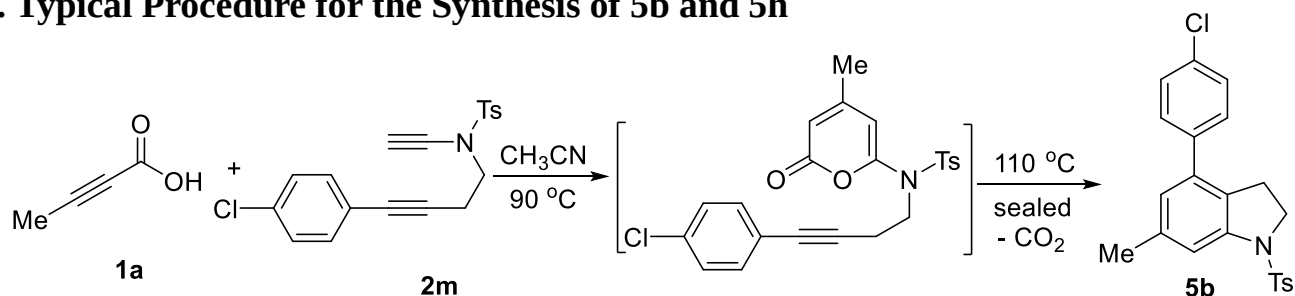


Condition A: To a schlenk tube was added but-2-ynoic acid **1a** (16.8 mg, 0.2 mmol), ynamide **2a** (41.8 mg, 0.2 mmol), CH₃CN (2 mL) as solvent. The solution was stirred under 90 °C until the starting material was fully consumed about 8 hours. Then the solution was concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/v, 1:8) as eluent to give **4a** as a white solid (53 mg, 90% yield).

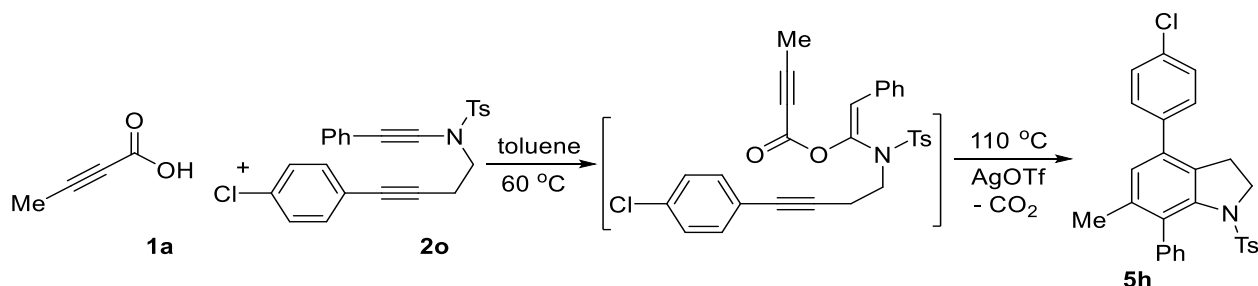


Condition B: To a schlenk tube was added but-2-ynoic acid **1a** (16.8 mg, 0.2 mmol), ynamide **2i** (57 mg, 0.2 mmol), toluene (2 mL, dry) as solvent. The solution was stirred under 60 °C until the starting material was fully converted to the intermediate product. AgOTf (5 mg, 10 mol %) was added, and temperature was raised to 90 °C for another 8 hours. Then the solution was concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/v, 1:8) as eluent to give **4q** as a white solid (63 mg, 85% yield).

3. Typical Procedure for the Synthesis of 5b and 5h

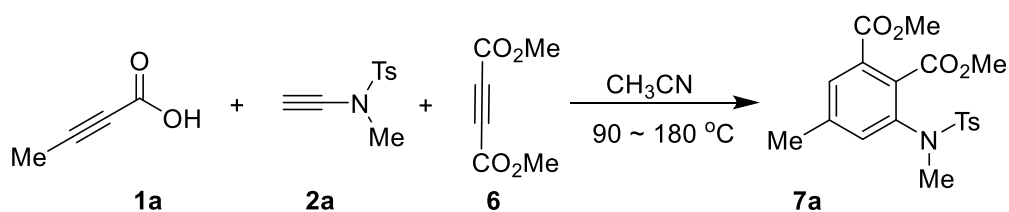


Condition A: To a schlenk tube was added but-2-ynoic acid **1a** (16.8 mg, 0.2 mmol), ynamide **2m** (71.5 mg, 0.2 mmol) and CH_3CN (2 mL). The solution was sealed to stir under $90\text{ }^\circ\text{C}$ until the starting material was fully consumed about 8 hours. Afterword, the schlenk tube was heated under $110\text{ }^\circ\text{C}$ for 24 hours. Then the solution was concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/v, 1:15) as eluent to give **5b** as a white solid (70 mg, 88% yield).



Condition B: To a schlenk tube was added but-2-ynoic acid **1a** (16.8 mg, 0.2 mmol), ynamide **2o** (86.8 mg, 0.2 mmol) and toluene (2 mL, dry). The solution was stirred under $60\text{ }^\circ\text{C}$ until the starting material was fully consumed about 2 hours. Afterword, the schlenk tube was added AgOTf (5 mg, 10%) and continued for 24 hours under $110\text{ }^\circ\text{C}$. Then the solution was concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/v, 1:15) as eluent to give **5h** as a white solid (69 mg, 73% yield).

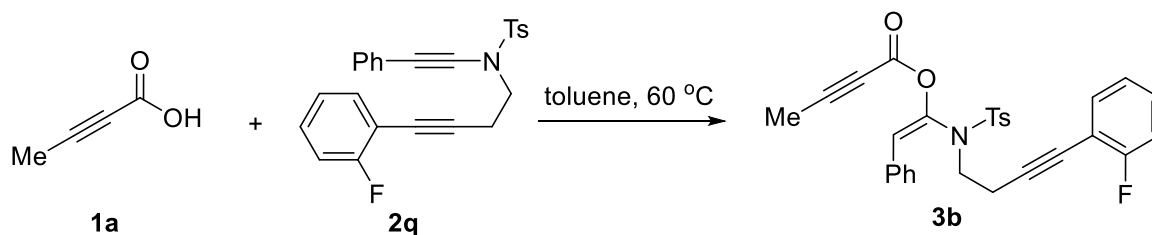
4. Typical Procedure for the Synthesis of 7a



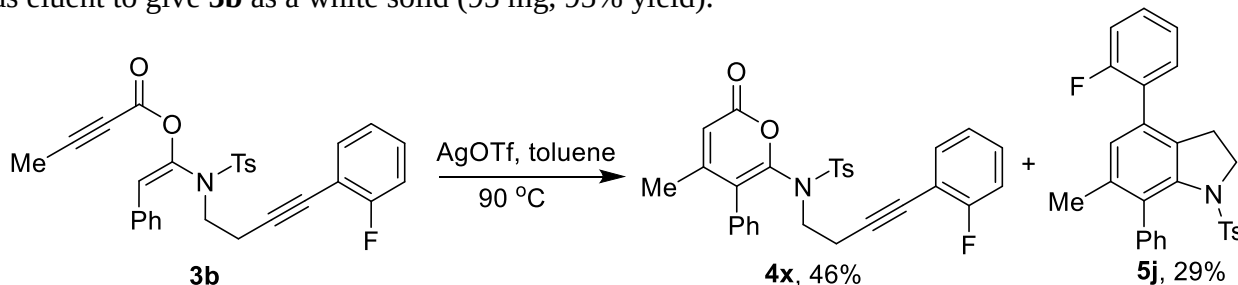
To a schlenk tube was added but-2-ynoic acid **1a** (16.8 mg, 0.2 mmol), ynamide **2a** (41.8 mg,

0.2 mmol), dimethyl acetylenedicarboxylate **6** (57 mg, 0.4 mmol) and CH₃CN (2 mL). The solution was sealed to stir under 90 °C until the **1a** and **2a** was fully consumed about 8 hours, subsequently 180 °C for 48 hours. Then the solution was concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/v, 1:5) as eluent to give **7a** as white solid (57 mg, 73% yield).

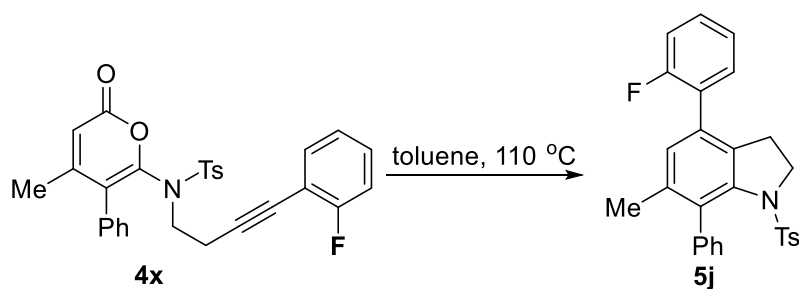
5. Control Experiments



To a schlenk tube was added but-2-ynoic acid **1a** (16.8 mg, 0.2 mmol), ynamide **2q** (83.4 mg, 0.2 mmol), toluene (2 mL) as solvent. The solution was stirred under 60 °C until the starting material was fully converted to the intermediate product. Then the solution was concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/v, 1:8) as eluent to give **3b** as a white solid (95 mg, 95% yield).

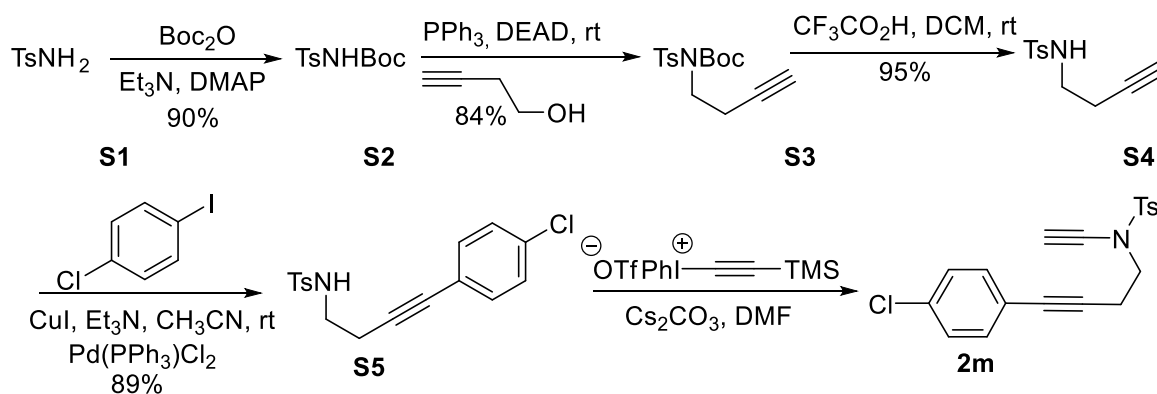


To a schlenk tube was added **3b** (50 mg, 0.1 mmol), AgOTf (3 mg, 10%), toluene (2 mL, dry) as solvent. The solution was stirred under 90 °C for 4 hours. Then the solution was concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/v, 1:20, 1:5) as eluent to give **4x** (23 mg, 46% yield) and **5j** (13 mg, 29% yield) as white solid .



To a schlenk tube was added **4x** (50 mg, 0.1 mmol), toluene (2 mL, dry) as solvent. The solution was stirred under 110 °C for 4 hours. Then the solution was concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/v, 1:20) as eluent to give **5j** (42 mg, 92% yield) as white solid.

6. Procedure for the Synthesis of 2



S2: A solution of Boc_2O (13.2 mL, 57.5 mmol) in dichloromethane (15 mL) was added dropwise at room temperature to a solution of triethylamine (7.6 mL, 55.0 mmol), DMAP (0.6 g, 5.0 mmol) and p-toluenesulfonamide **S1** (8.55 g, 50.0 mmol) in dichloromethane (60 mL). The colorless reaction mixture was stirred at room temperature for 5 h. After completion the solvent was removed under vacuum, the residue was diluted with ethyl acetate (60 mL) and 1N HCl (40 mL). An organic layer was washed with water, brine and then dried over MgSO_4 and concentrated on a rotary evaporator to give a white solid. Crystallization from hot hexane (50 mL) gave **S2** (12.2 g, 90%).

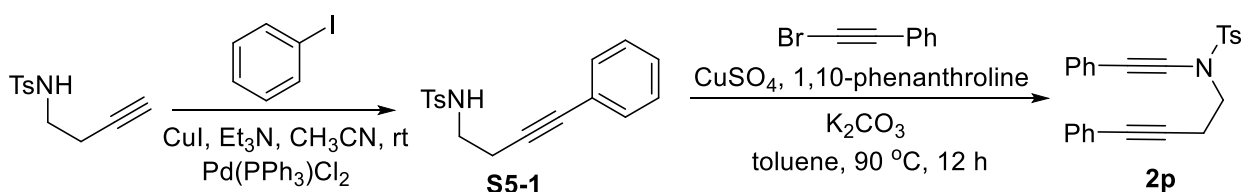
S3: A solution of triphenylphosphine (1.44 g, 5.5 mmol), but-3-yn-1-ol (0.39 g, 5 mmol) and **S2** (1.35g, 5 mmol) in dry THF (15 mL) was stirred for 10 minutes. Diethyl azodicarboxylate (0.96 g, 5.5 mmol) was then added at 0 °C. The reaction mixture was stirred at 25 °C for 8 h. The solvent was removed by a rotary evaporator and the residue was purified by silica gel flash chromatography (1:10 ethyl acetate/ petroleum ether) to afford the desired substrate **S3** (1.49 g, 84%).

S4: To a solution of **S3** (1 g, 3.1 mmol) in DCM (5 mL) was added TFA (1.15 mL, 15.5 mmol)

and the mixture was stirred at room temperature for 3 h. The reaction was quenched by saturated aqueous NaHCO₃ solution and was extracted with DCM. The combined organic layers were washed with brine, dried over MgSO₄ and concentrated under vacuo. The residue was purified by flash chromatography (1:5 ethyl acetate/ petroleum ether) to afford the desired substrate **S4** (0.66 g, 95%).

S5: To a solution of **S4** (0.5 g, 2.2 mmol) in CH₃CN (5 mL) was added iodobenzene (0.6 g, 2.5 mmol), Pd(PPh₃)₄Cl₂ (38 mg, 0.055 mmol), CuI (15 mg, 0.079 mmol), Et₃N (0.68 g, 6.6 mmol) and the mixture was stirred at room temperature for 12 h. The reaction was quenched by H₂O and was extracted with EA. The combined organic layers were washed with brine, dried over MgSO₄ and concentrated in vacuo. The residue was purified by flash chromatography (1:5 ethyl acetate/ petroleum ether) to afford the desired substrate **S5** (0.73 g, 95%).

2m: To a solution of **S5** (0.67 g, 2 mmol) in DMF (5 mL) was added Cs₂CO₃ (0.72 g, 2.2 mmol), trifluoromethanesulfonate iodonium was added dropwise to the solution slowly under room temperature. The reaction was continue stirred for 2 h and then quenched by water, extracted with EA, The combined organic layers were washed with brine, dried over MgSO₄ and concentrated in vacuo. The residue was purified by flash chromatography (1:10 ethyl acetate/ petroleum ether) to afford the desired substrate **2m** (0.39 g, 55%). Light yellow oil; ¹H NMR (CDCl₃, 600MHz) δ 7.82 (d, *J* = 8.4 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 7.29-7.24 (m, 4H), 3.59 (t, *J* = 7.2 Hz, 2H), 2.80 (s, 1H), 2.76 (t, *J* = 7.2 Hz, 2H), 2.42 (s, 3H). ¹³C NMR (CDCl₃, 151MHz) δ 145.1, 134.7, 134.1, 132.98, 130.0, 128.7, 127.8, 121.8, 88.3, 81.7, 75.6, 59.8, 50.1, 21.8, 19.4.

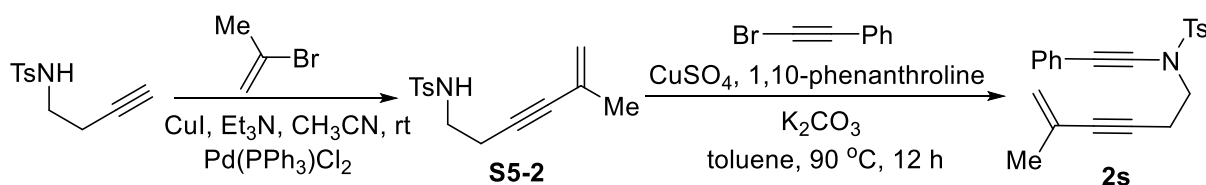


2p: To a mixture of **S5-1** (0.6 g, 2 mmol), K₂CO₃ (0.55 g, 4 mmol), CuSO₄·5H₂O (50 mg, 0.2 mmol) and 1,10-phenanthroline (72 mg, 0.4 mmol) in a reaction vial was added a solution of 1-bromoalkyne (0.44 g, 2.4 mmol) in toluene. The reaction mixture was capped and heated in an oil bath at 90 °C for 12 h while being monitored with TLC analysis. Upon completion, the reaction mixture was cooled to room temperature and diluted with EtOAc and filtered through Celite, and the filtrate was concentrated under vacuum. The crude products were purified by silica gel flash column to afford the desired substrate **2p** (0.56 g, 70%). Light yellow oil; ¹H NMR (CDCl₃, 600MHz) δ

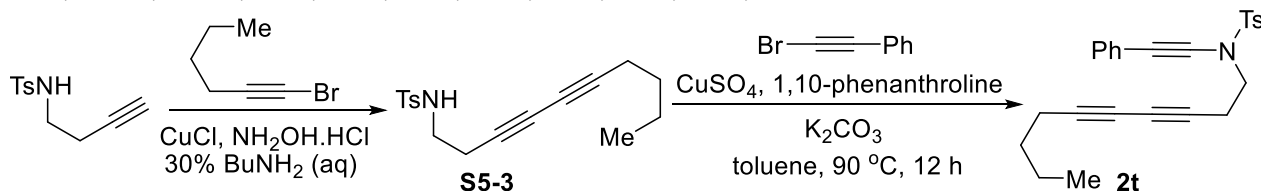
7.87 (d, $J = 8.4$ Hz, 2H), 7.38-7.33 (m, 6H), 7.30-7.26 (m, 6H), 3.70 (t, $J = 7.8$ Hz, 2H), 2.83 (t, $J = 7.2$ Hz, 2H), 2.43 (s, 3H). ^{13}C NMR (CDCl_3 , 151MHz) δ 144.9, 134.8, 131.8, 131.6, 130.0, 128.4, 128.3, 128.12, 128.06, 127.83, 85.4, 82.8, 82.0, 71.3, 50.6, 21.8, 19.7.

2n, 2o, 2q, 2r were synthesised analogously to the **2p**.

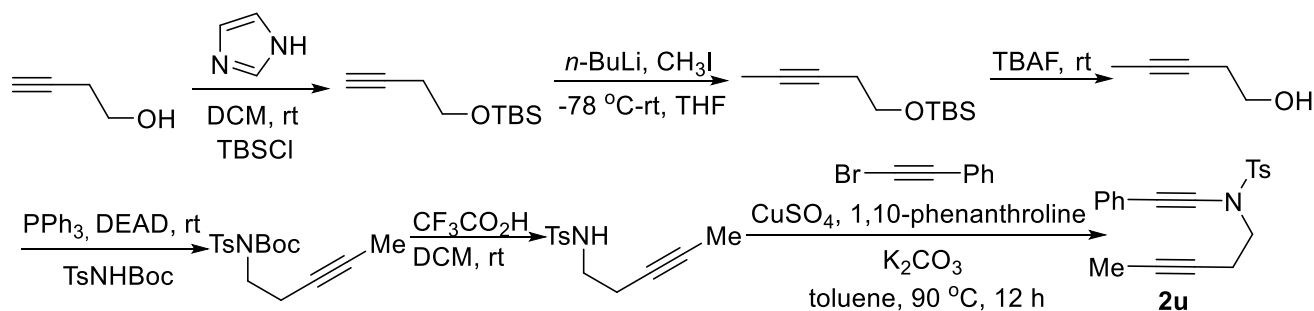
2n Light yellow oil; ^1H NMR (CDCl_3 , 600MHz) δ 7.80 (d, $J = 8.4$ Hz, 2H), 7.32-7.24 (m, 6H), 3.54 (t, $J = 7.8$ Hz, 2H), 2.73 (t, $J = 7.2$ Hz, 2H), 2.43 (s, 3H), 2.26 (t, $J = 6.6$ Hz, 2H), 1.48-1.43 (m, 2H), 1.39-1.33 (m, 2H), 0.89 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (CDCl_3 , 151MHz) δ 144.6, 134.9, 134.1, 133.0, 129.8, 128.7, 127.8, 121.9, 86.7, 81.5, 72.6, 71.0, 50.3, 31.1, 22.0, 21.8, 19.5, 18.3, 13.7.



2s Light yellow oil; ^1H NMR (CDCl_3 , 600MHz) δ 7.86 (d, $J = 8.4$ Hz, 2H), 7.38-7.35 (m, 4H), 7.30-7.29 (m, 3H), 5.22 (s, 1H), 5.17 (s, 1H), 3.61 (t, $J = 7.2$ Hz, 2H), 2.72 (t, $J = 7.2$ Hz, 2H), 2.45 (s, 3H), 1.84 (s, 3H). ^{13}C NMR (CDCl_3 , 151MHz) δ 144.9, 134.7, 131.5, 130.0, 128.4, 128.0, 127.8, 126.8, 122.7, 121.6, 84.3, 84.0, 81.9, 71.2, 50.6, 23.6, 21.8, 19.5.

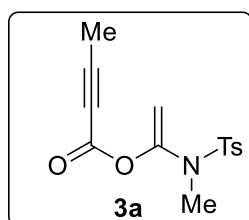


2t Light yellow oil; ^1H NMR (CDCl_3 , 600MHz) δ 8.15 (d, $J = 7.8$ Hz, 1H), 7.93 (s, 1H), 7.80 (d, $J = 7.2$ Hz, 1H), 7.74 (d, $J = 8.4$ Hz, 2H), 7.46-7.41 (m, 2H), 7.20 (d, $J = 8.4$ Hz, 2H), 3.40 (t, $J = 7.8$ Hz, 2H), 3.15 (t, $J = 8.4$ Hz, 2H), 2.55 (t, $J = 7.2$ Hz, 2H), 2.34 (s, 3H), 1.67-1.63 (m, 2H), 1.56-1.50 (m, 2H), 0.97 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (CDCl_3 , 151MHz) δ 144.4, 139.7, 135.7, 134.0, 133.7, 130.8, 129.9, 128.1, 127.4, 126.4, 125.6, 125.3, 118.2, 110.5, 100.1, 76.1, 49.9, 31.1, 28.0, 22.1, 21.6, 19.6, 13.7.



2u Light yellow oil; ^1H NMR (CDCl_3 , 600MHz) δ 7.85 (d, J = 8.4 Hz, 2H), 7.37-7.35 (m, 4H), 7.31-7.27 (m, 3H), 3.55 (t, J = 7.8 Hz, 2H), 2.22-2.51 (m, 2H), 2.45 (s, 3H), 1.73 (t, J = 3.0 Hz, 3H). ^{13}C NMR (CDCl_3 , 151MHz) δ 144.8, 134.7, 131.4, 129.9, 128.3, 127.9, 127.7, 122.8, 81.9, 78.1, 74.6, 71.1, 50.8, 21.7, 18.8, 3.52.

7. Characterization of 3, 4, 5, 7



1-((N,4-Dimethylphenyl)sulfonamido)vinyl but-2-ynoate (3a)

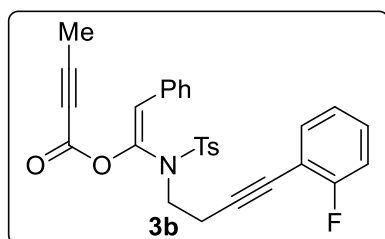
White solid, m. p. 80-82 °C (56 mg, 95 % yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:10).

^1H NMR (CDCl_3 , 600MHz) δ 7.71 (d, J = 8.4 Hz, 2H), 7.31 (d, J = 8.4 Hz, 2H), 4.88 (d, J = 2.4 Hz, 1H), 4.70 (d, J = 2.4 Hz, 1H), 3.00 (s, 3H), 2.42 (s, 3H), 1.99 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 151.7, 146.5, 144.2, 133.9, 129.7, 128.1, 101.5, 88.8, 71.5, 37.3, 21.7, 4.0.

IR (KBr) ν 2250, 1635, 1532, 1366, 1160, 966, 898, 751 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{14}\text{H}_{15}\text{NO}_4\text{S}$ (M^+): 293.0722; Found: 293.0722.



1-((N-(4-(2-Fluorophenyl)but-3-yn-1-yl)-4-methylphenyl)sulfonamido)-2-phenylvinyl but-2-ynoate (3b)

Light yellow solid, m. p. 123-125 °C (97 mg, 95 % yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:10).

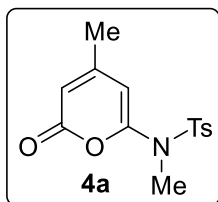
^1H NMR (CDCl_3 , 600MHz) δ 7.85 (d, J = 8.4 Hz, 2H), 7.59 (d, J = 8.4 Hz, 2H), 7.33-7.22 (m, 7H), 7.04-6.99 (m, 2H), 6.51 (s, 1H), 3.52 (t, J = 5.2 Hz, 2H), 2.63 (t, J = 5.2 Hz, 2H), 2.39 (s, 3H), 2.00 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 163.6 (d, $^1J_{\text{C-F}}$ = 250.7 Hz), 151.1, 144.3, 136.5, 135.9, 133.7,

131.5, 129.7, 129.6, 129.0, 128.8, 128.6, 128.3, 123.8 (d, $^3J_{\text{C-F}} = 3.6$ Hz), 123.6, 115.3 (d, $^2J_{\text{C-F}} = 21.0$ Hz), 111.8 (d, $^2J_{\text{C-F}} = 15.7$ Hz), 91.3 (d, $^3J_{\text{C-F}} = 2.9$ Hz), 89.0, 75.7, 71.5, 47.8, 21.6, 19.6, 3.9.

IR (KBr) ν 1734, 1660, 1530, 1358, 1041, 842, 745 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{29}\text{H}_{24}\text{FNO}_4\text{S}$ (M^+): 501.1410; Found: 501.1411.



***N*,4-Dimethyl-*N*-(4-methyl-2-oxo-2*H*-pyran-6-yl)benzenesulfonamide (4a)**

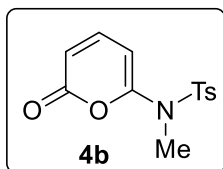
White solid, m. p. 102-104 °C (53 mg, 90 % yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.64 (d, $J = 8.4$ Hz, 2H), 7.31 (d, $J = 8.4$ Hz, 2H), 6.24 (s, 1H), 5.89 (s, 1H), 3.17 (s, 3H), 2.42 (s, 3H), 2.19 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 161.0, 158.0, 152.5, 145.1, 134.3, 130.2, 127.6, 109.5, 101.9, 34.7, 22.0, 21.8.

IR (KBr) ν 1750, 1633, 1533, 1364, 1163, 962, 890, 751 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{14}\text{H}_{15}\text{NO}_4\text{S}$ (M^+): 293.0722; Found: 293.0722.



***N*,4-Dimethyl-*N*-(2-oxo-2*H*-pyran-6-yl)benzenesulfonamide (4b)**

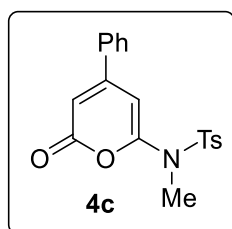
White solid, m. p. 95-98 °C (35 mg, 63% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 400MHz) δ 7.65 (d, $J = 8.0$ Hz, 2H), 7.39-7.30 (m, 3H), 6.36 (d, $J = 7.2$ Hz, 1H), 6.07 (d, $J = 9.2$ Hz, 1H), 3.21(s, 3H), 2.42 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 160.7, 154.0, 145.2, 134.3, 130.2, 127.6, 111.4, 98.2, 34.7, 21.7.

IR (KBr) ν 1740, 1630, 1535, 1355, 1161, 969, 892, 751 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{13}\text{H}_{13}\text{NO}_4\text{S}$ (M^+): 279.0565; Found: 279.0565.



***N*,4-Dimethyl-*N*-(2-oxo-4-phenyl-2*H*-pyran-6-yl)benzenesulfonamide (4c)**

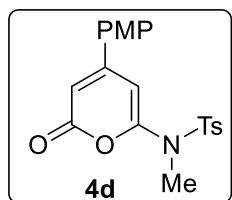
White solid, m. p. 115-117 °C (60 mg, 85% yield), $R_f = 0.3$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.69 (d, $J = 8.4$ Hz, 2H), 7.62-7.60 (m, 2H), 7.52-7.48 (m, 3H), 7.33 (d, $J = 8.4$ Hz, 2H), 6.73 (s, 1H), 6.30 (s, 1H), 3.26 (s, 3H), 2.44 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 161.4, 157.1, 153.2, 145.3, 135.6, 134.2, 131.1, 130.2, 129.4, 127.6, 127.0, 106.8, 99.1, 34.8, 21.8.

IR (KBr) ν 1729, 1633, 1522, 1359, 1169, 1083, 840, 757 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{19}\text{H}_{17}\text{NO}_4\text{S}$ (M^+): 355.0878; Found: 355.0878.



***N*-(4-(4-Methoxyphenyl)-2-oxo-2*H*-pyran-6-yl)-*N*,4-dimethylbenzenesulfonamide (4d)**

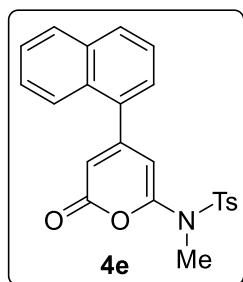
White solid, m. p. 118-121 °C (66 mg, 86% yield), $R_f = 0.3$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.67 (d, $J = 8.4$ Hz, 2H), 7.58 (d, $J = 9.0$ Hz, 2H), 7.31 (d, $J = 7.8$ Hz, 2H), 6.99 (d, $J = 9.0$ Hz, 2H), 6.71 (s, 1H), 6.24 (s, 1H), 3.86 (s, 3H), 3.23 (s, 3H), 2.42 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 162.2, 161.6, 156.2, 152.9, 145.1, 134.3, 130.1, 128.5, 127.6, 127.5, 114.7, 105.1, 98.9, 55.6, 34.8, 21.7.

IR (KBr) ν 1757, 1650, 1531, 1371, 1186, 1079, 861, 752 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{20}\text{H}_{19}\text{NO}_5\text{S}$ (M^+): 385.0984; Found: 385.0980.



***N*,4-Dimethyl-*N*-(4-(naphthalen-1-yl)-2-oxo-2*H*-pyran-6-yl)benzenesulfonamide**

(4e)

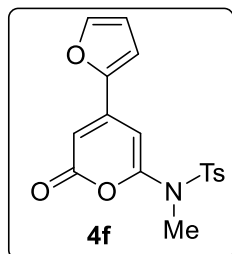
White solid, m. p. 124-126 °C (52 mg, 64% yield), R_f = 0.3 (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) 7.97-7.23 (m, 3H), 7.74 (d, J = 8.0 Hz, 2H), 7.58-7.45 (m, 4H), 7.37 (d, J = 8.0 Hz, 2H), 6.58 (s, 1H), 6.24 (s, 1H), 3.30 (s, 3H), 2.47 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 161.09, 158.52, 152.72, 145.31, 135.09, 134.35, 133.86, 130.50, 130.25, 129.90, 128.93, 127.72, 127.28, 126.70, 126.30, 125.38, 124.85, 110.45, 101.60, 34.85, 21.84.

IR (KBr) ν 1755, 1643, 1532, 1361, 1162, 1081, 838, 755 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{23}\text{H}_{19}\text{NO}_4\text{S}$ (M^+): 405.1035; Found: 405.1033.



***N*-(4-(Furan-2-yl)-2-oxo-2*H*-pyran-6-yl)-*N*,4-dimethylbenzenesulfonamide (4f)**

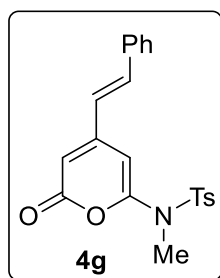
White solid, m. p. 109-102 °C (60 mg, 87% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 400MHz) 7.67 (d, J = 8.4 Hz, 2H), 7.62 (s, 1H), 7.31 (d, J = 8.4 Hz, 2H), 6.99 (d, J = 3.6 Hz, 1H), 6.67 (s, 1H), 6.59-6.58 (m, 1H), 6.33 (s, 1H), 3.22 (s, 3H), 2.43 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 161.4, 153.3, 149.2, 146.2, 145.2, 144.6, 134.2, 130.2, 127.6, 113.8, 113.0, 101.9, 95.9, 34.7, 21.8.

IR (KBr) ν 1745, 1641, 1528, 1363, 1169, 1123, 1085, 839, 757 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{17}\text{H}_{15}\text{NO}_5\text{S}$ (M^+): 345.0671; Found: 345.0677.



(E)-N,4-Dimethyl-N-(2-oxo-4-styryl-2H-pyran-6-yl)benzenesulfonamide 4-nitrobenzoate (4g)

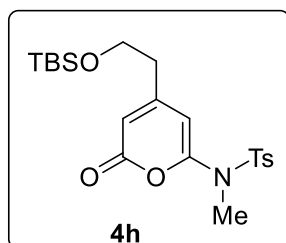
White solid, m. p. 104-107 °C (54 mg, 71% yield), $R_f = 0.3$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.67 (d, $J = 8.4$ Hz, 2H), 7.56 (d, $J = 7.2$ Hz, 2H), 7.43-7.38 (m, 3H), 7.33-7.28 (m, 3H), 6.86 (d, $J = 16.2$ Hz, 1H), 6.70 (s, 1H), 6.06 (s, 1H), 3.22 (s, 3H), 2.43 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 161.6, 153.2, 152.7, 145.2, 137.9, 135.3, 134.2, 130.2, 130.0, 129.2, 127.8, 127.6, 124.2, 108.3, 97.2, 34.8, 21.8.

IR (KBr) ν 1739, 1635, 1522, 1360, 1167, 1087, 841, 753 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{21}\text{H}_{19}\text{NO}_4\text{S}$ (M^+): 381.1035; Found: 381.1033.



N-(4-(2-((tert-Butyldimethylsilyl)oxy)ethyl)-2-oxo-2H-pyran-6-yl)-N,4-dimethylbenzenesulfonamide (4h)

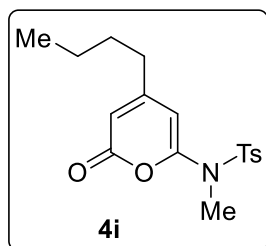
White solid, m. p. 95-96 °C (80 mg, 92% yield), $R_f = 0.3$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.64 (d, $J = 7.8$ Hz, 2H), 7.30 (d, $J = 8.4$ Hz, 2H), 6.30 (s, 1H), 5.95 (s, 1H), 3.84 (t, $J = 6.0$ Hz, 2H), 3.17 (s, 3H), 2.63 (t, $J = 6.0$ Hz, 2H), 2.42 (s, 3H), 0.87 (s, 9H), 0.04 (s, 6H).

^{13}C NMR (CDCl_3 , 151MHz) δ 161.1, 159.4, 152.6, 145.1, 134.4, 130.1, 127.6, 110.0, 101.5, 61.4, 39.1, 34.8, 26.0, 21.8, 18.4, 5.3.

IR (KBr) ν 1732, 1633, 1525, 1369, 1159, 1083, 1064, 851, 747 cm^{-1} .

HRMS (EI) calcd for C₂₁H₃₁NO₅SSi (M⁺): 437.1692; Found: 437.1691.



***N*-(4-Butyl-2-oxo-2*H*-pyran-6-yl)-*N*,4-dimethylbenzenesulfonamide (4i)**

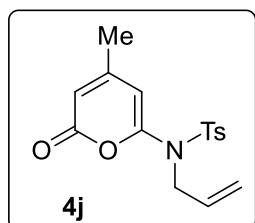
White solid, m. p. 116-118 °C (62 mg, 87% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

¹H NMR (CDCl₃, 600MHz) δ 7.63 (d, *J* = 8.4 Hz, 2H), 7.31 (d, *J* = 8.4 Hz, 2H), 6.27 (s, 1H), 5.88 (s, 1H), 3.19 (s, 3H), 2.45-2.40 (m, 5H), 1.60-1.54 (m, 2H), 1.40-1.34 (m, 2H), 0.95 (t, *J* = 7.2 Hz, 3H).

¹³C NMR (CDCl₃, 151MHz) δ 162.4, 161.4, 152.5, 145.2, 134.2, 130.1, 127.6, 108.7, 101.2, 35.5, 34.7, 30.5, 22.3, 21.8, 13.9.

IR (KBr) ν 1730, 1632, 1525, 1360, 1179, 1083, 840, 741 cm⁻¹.

HRMS (EI) calcd for C₁₇H₂₁NO₄S (M⁺): 355.1191; Found: 355.1195.



***N*-Allyl-4-methyl-*N*-(4-methyl-2-oxo-2*H*-pyran-6-yl)benzenesulfonamide (4j)**

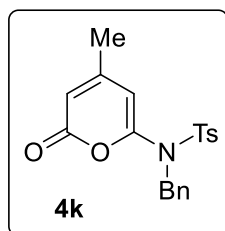
White solid, m. p. 99-101 °C (55 mg, 86% yield), R_f = 0.2(EtOAc/ Petroleum ether 1:5).

¹H NMR (CDCl₃, 400MHz) δ 7.66 (d, *J* = 8.4 Hz, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 6.21 (s, 1H), 5.91 (s, 1H), 5.84-5.74 (m, 1H), 5.29-5.18 (m, 2H), 4.18 (d, *J* = 6.0 Hz, 2H), 2.43 (s, 3H), 2.18 (s, 3H).

¹³C NMR (CDCl₃, 100MHz) δ 161.2, 157.5, 151.2, 145.1, 135.5, 131.7, 130.1, 127.7, 120.3, 110.7, 104.9, 50.4, 21.9, 21.8.

IR (KBr) ν 1730, 1632, 1524, 1410, 1359, 1182, 1083, 869, 739 cm⁻¹.

HRMS (EI) calcd for C₁₆H₁₇NO₄S (M⁺): 319.0878; Found: 319.0878.



***N*-Benzyl-4-methyl-*N*-(4-methyl-2-oxo-2*H*-pyran-6-yl)benzenesulfonamide (4k)**

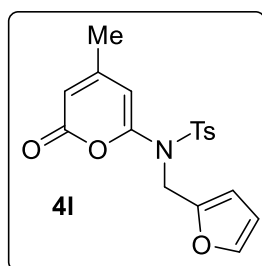
White solid, m. p. 117-119 °C (64 mg, 87% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 400MHz) δ 7.60 (d, $J = 8.0$ Hz, 2H), 7.26-7.19 (m, 7H), 6.03 (s, 1H), 5.77 (s, 1H), 4.66 (s, 2H), 2.37 (s, 3H), 2.01 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 161.0, 157.4, 151.6, 145.0, 135.4, 134.8, 130.2, 128.8, 128.7, 128.2, 127.6, 110.6, 105.6, 51.2, 21.7.

IR (KBr) ν 1730, 1632, 1524, 1410, 1359, 1182, 1083, 829, 739 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{20}\text{H}_{19}\text{NO}_4\text{S}$ (M^+): 369.1035; Found: 369.1036.



***N*-(Furan-2-ylmethyl)-4-methyl-*N*-(4-methyl-2-oxo-2*H*-pyran-6-yl)benzenesulfonamide (4l)**

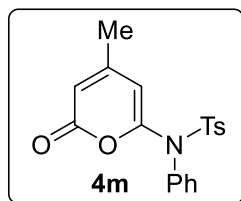
White solid, m. p. 95-98 °C (57 mg, 80% yield), $R_f = 0.3$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 400MHz) δ 7.65 (d, $J = 8.4$ Hz, 2H), 7.33-7.29 (m, 3H), 6.30-6.27 (m, 2H), 6.11 (s, 1H), 5.89 (s, 1H), 4.78 (s, 2H), 2.42 (s, 3H), 2.12 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 161.1, 157.6, 151.1, 148.5, 144.8, 143.0, 135.5, 130.1, 127.7, 110.7, 110.6, 110.5, 104.3, 44.4, 21.8, 21.7.

IR (KBr) ν 1734, 1644, 1523, 1359, 1164, 814, 746 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{18}\text{H}_{17}\text{NO}_5\text{S}$ (M^+): 359.0827; Found: 359.0827.



4-Methyl-N-(4-methyl-2-oxo-2H-pyran-6-yl)-N-phenylbenzenesulfonamide (4m)

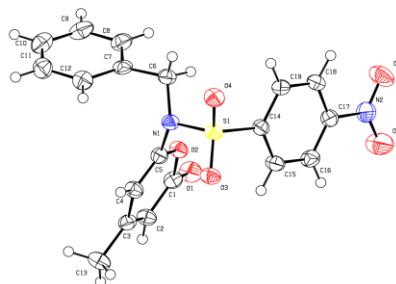
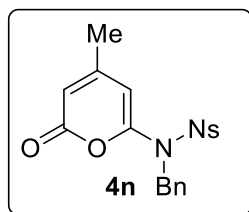
White solid, m. p. 100-102 °C (50 mg, 70% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:3).

^1H NMR (CDCl_3 , 400MHz) δ 7.65 (d, $J = 8.0$ Hz, 2H), 7.33-7.19 (m, 7H), 5.86 (s, 1H), 5.82 (s, 1H), 2.38 (s, 3H), 2.05 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 160.9, 157.6, 153.0, 144.9, 137.4, 135.7, 129.8, 129.7, 129.5, 128.6, 110.3, 103.0, 21.8.

IR (KBr) ν 1732, 1641, 1523, 1415, 1358, 1156, 834, 749 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{19}\text{H}_{17}\text{NO}_4\text{S}$ (M^+): 355.0878; Found: 355.0876.



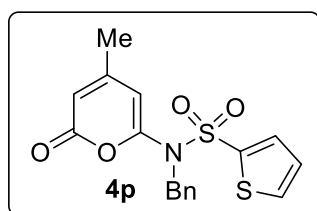
White solid, m. p. 129-131 °C (51 mg, 87% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 400MHz) δ 7.34-7.28 (m, 5H), 5.93 (s, 1H), 5.92 (s, 1H), 4.84 (s, 2H), 3.09 (s, 3H), 2.07 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 161.0, 157.5, 151.9, 134.7, 128.9, 128.6, 128.5, 110.9, 104.8, 52.1, 40.6, 21.7.

IR (KBr) ν 1735, 1647, 1523, 1358, 1167, 824, 750 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{14}\text{H}_{15}\text{NO}_4\text{S}$ (M^+): 293.0722; Found: 293.0725.



***N*-Benzyl-*N*-(4-methyl-2-oxo-2*H*-pyran-6-yl)thiophene-2-sulfonamide (4p)**

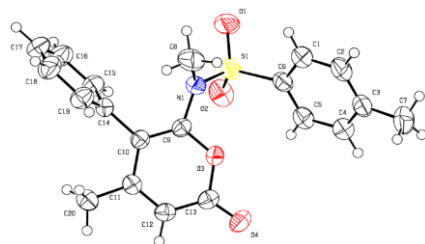
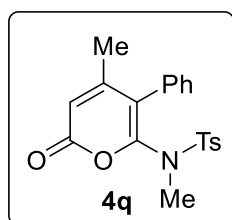
White solid, m. p. 142-144 °C (60 mg, 83% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:4).

^1H NMR (CDCl_3 , 400MHz) δ 7.62-7.56 (m, 2H), 7.25-7.19 (m, 5H), 7.07 (t, $J = 4.4$ Hz, 1H), 6.03 (s, 1H), 5.82 (s, 1H), 4.71 (s, 2H), 2.03 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 161.0, 157.4, 151.1, 138.1, 134.7, 133.8, 133.7, 128.84, 128.81, 128.4, 127.9, 111.4, 106.6, 51.6, 21.8.

IR (KBr) ν 1734, 1655, 1559, 1369, 1164, 1032, 746 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{17}\text{H}_{15}\text{NO}_4\text{S}_2$ (M^+): 361.0442; Found: 361.0442.



***N*,4-Dimethyl-*N*-(4-methyl-2-oxo-5-phenyl-2*H*-pyran-6-yl)benzenesulfonamide (4q)**

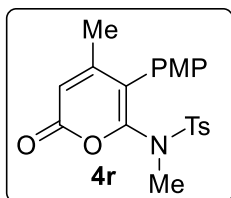
White solid, m. p. 152-154 °C (54 mg, 73% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 400MHz) δ 7.66 (d, $J = 8.0$ Hz, 2H), 7.48-7.40 (m, 3H), 7.32-7.30 (m, 4H), 6.25 (s, 1H), 2.77 (s, 3H), 2.43 (s, 3H), 1.96 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 160.9, 157.8, 149.8, 144.5, 135.0, 132.4, 129.9, 129.8, 128.8, 128.53, 128.49, 121.0, 114.5, 36.6, 21.7, 21.6.

IR (KBr) ν 1733, 1648, 1533, 1359, 1164, 1028, 818, 746 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{20}\text{H}_{19}\text{NO}_4\text{S}$ (M^+): 369.1035; Found: 369.1035.



***N*-(5-(4-Methoxyphenyl)-4-methyl-2-oxo-2*H*-pyran-6-yl)-*N*,4-dimethylbenzenesulfonamide (4r)**

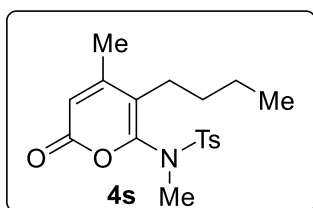
White solid, m. p. 160-162 $^{\circ}\text{C}$ (52 mg, 65 % yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.68 (d, J = 8.4 Hz, 2H), 7.30 (d, J = 7.8 Hz, 2H), 7.22 (d, J = 8.4 Hz, 2H), 6.97 (d, J = 9.0 Hz, 2H), 6.22 (s, 1H), 3.85 (s, 3H), 2.76 (s, 3H), 2.42 (s, 3H), 1.95 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 160.9, 159.6, 158.3, 149.7, 144.5, 135.0, 131.0, 129.8, 128.4, 124.3, 120.4, 114.2, 114.2, 55.3, 36.5, 21.7, 21.6.

IR (KBr) ν 1738, 1652, 1531, 1359, 1158, 835, 747 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{21}\text{H}_{21}\text{NO}_5\text{S}$ (M^+): 399.1140; Found: 399.1141.



***N*-(5-Butyl-4-methyl-2-oxo-2*H*-pyran-6-yl)-*N*,4-dimethylbenzenesulfonamide (4s)**

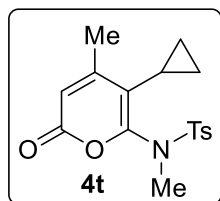
White solid, m. p. 138-140 $^{\circ}\text{C}$ (37 mg, 53 % yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.70 (d, J = 8.4 Hz, 2H), 7.34 (d, J = 7.8 Hz, 2H), 6.13 (s, 1H), 3.00 (s, 3H), 2.64-2.59 (m, 2H), 2.44 (s, 3H), 2.24 (s, 3H), 1.50-1.38 (m, 4H), 0.97 (t, J = 7.2 Hz, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 161.1, 158.2, 148.7, 144.8, 134.0, 130.0, 128.5, 119.8, 115.3, 36.8, 31.7, 26.4, 22.9, 21.8, 20.2, 13.9.

IR (KBr) ν 1732, 1649, 1558, 1359, 1161, 839, 750 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{18}\text{H}_{23}\text{NO}_4\text{S}$ (M^+): 349.1348; Found: 349.1347.



***N*-(5-Cyclopropyl-4-methyl-2-oxo-2*H*-pyran-6-yl)-*N*,4-dimethylbenzenesulfonamide (4t)**

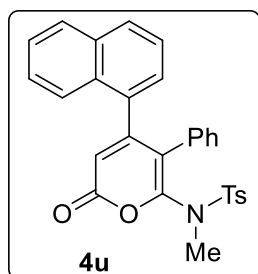
White solid, m. p. 130-133 $^{\circ}\text{C}$ (38 mg, 57% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 400MHz) δ 7.63 (d, J = 8.0 Hz, 2H), 7.30 (d, J = 8.0 Hz, 2H), 6.19 (s, 1H), 3.12 (s, 3H), 2.42 (s, 3H), 2.29 (s, 3H), 1.54-1.47 (m, 1H), 0.93-0.88 (m, 2H), 0.82-0.78 (m, 2H).

^{13}C NMR (CDCl_3 , 100MHz) δ 161.3, 154.4, 149.7, 145.0, 134.4, 130.2, 127.9, 122.1, 104.5, 35.0, 21.8, 20.2, 9.3, 6.8.

IR (KBr) ν 1734, 1652, 1533, 1352, 1157, 840, 751 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{17}\text{H}_{19}\text{NO}_4\text{S}$ (M^+): 333.1035; Found: 333.1035.



***N*,4-Dimethyl-*N*-(4-(naphthalen-1-yl)-2-oxo-5-phenyl-2*H*-pyran-6-yl)benzenesulfonamide (4u)**

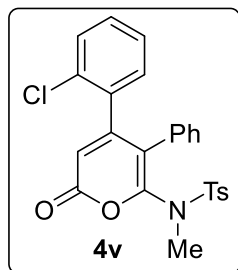
White solid, m. p. 165-167 $^{\circ}\text{C}$ (85 mg, 88% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.79-7.71 (m, 5H), 7.44-7.41 (m, 2H), 7.36-7.31 (m, 3H), 7.17-7.16 (m, 1H), 7.05-6.98 (m, 5H), 6.46 (s, 1H), 2.81 (s, 3H), 2.45 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 160.6, 159.4, 151.6, 144.7, 135.0, 134.1, 133.2, 132.1, 130.2, 129.93, 129.90, 129.3, 128.6, 128.4, 127.9, 127.8, 126.7, 126.5, 126.3, 125.3, 124.7, 120.4, 116.7, 36.6, 21.8.

IR (KBr) ν 1735, 1652, 1533, 1359, 1159, 841, 747 cm^{-1} .

HRMS (EI) calcd for C₂₉H₂₃NO₄S (M⁺): 481.1348; Found: 481.1345.



***N*-(4-(2-Chlorophenyl)-2-oxo-5-phenyl-2*H*-pyran-6-yl)-*N*,4-dimethylbenzenesulfonamide (4v)**

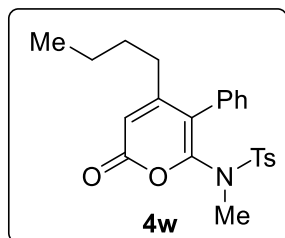
White solid, m. p. 175-177 °C (74mg, 80% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

¹H NMR (CDCl₃, 600MHz) δ 7.71 (d, *J* = 8.4 Hz, 2H), 7.32 (d, *J* = 8.4 Hz, 2H), 7.25-7.24 (m, 1H), 7.19-7.11 (m, 7H), 7.04-7.02 (m, 1H), 6.34 (s, 1H), 2.80 (s, 3H), 2.44 (s, 3H).

¹³C NMR (CDCl₃, 151MHz) δ 160.5, 157.6, 151.6, 144.6, 135.4, 134.9, 131.79, 131.75, 130.2, 130.1, 129.9, 129.84, 129.80, 129.6, 128.5, 128.1, 126.5, 119.6, 116.4, 36.6, 21.7.

IR (KBr) ν 1734, 1652, 1529, 1367, 1158, 1038, 846, 744 cm⁻¹.

HRMS (EI) calcd for C₂₅H₂₀ClNO₄S (M⁺): 465.0802; Found: 465.0802.



***N*-(4-Butyl-2-oxo-5-phenyl-2*H*-pyran-6-yl)-*N*,4-dimethylbenzenesulfonamide (4w)**

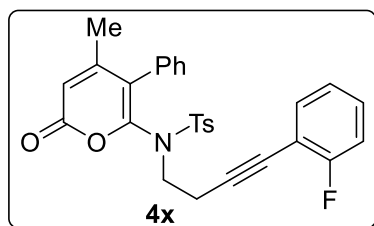
White solid, m. p. 151-153 °C (58 mg, 71 % yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

¹H NMR (CDCl₃, 400MHz) δ 7.66 (d, *J* = 8.4 Hz, 2H), 7.46-7.41 (m, 3H), 7.32-7.29 (m, 4H), 6.23 (s, 1H), 2.75 (s, 3H), 2.42 (s, 3H), 2.22 (t, *J* = 7.2 Hz, 2H), 1.38-1.33 (m, 2H), 1.22-1.16 (m, 2H), 0.76 (t, *J* = 7.2 Hz, 3H).

¹³C NMR (CDCl₃, 100MHz) δ 161.9, 161.3, 149.6, 144.5, 134.9, 132.2, 130.1, 129.8, 128.7, 128.5, 128.5, 120.9, 113.2, 36.6, 33.4, 30.2, 22.2, 21.7, 13.7.

IR (KBr) ν 1734, 1657, 1534, 1358, 1041, 842, 746 cm⁻¹.

HRMS (EI) calcd for C₂₃H₂₅NO₄S (M⁺): 411.1504; Found: 411.1508.



***N*-(4-(2-Fluorophenyl)but-3-yn-1-yl)-4-methyl-*N*-(4-methyl-2-oxo-5-phenyl-2*H*-pyran-6-yl)benzenesulfonamide (4x)**

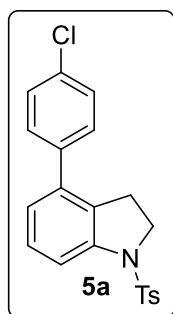
Light yellow solid, m. p. 116-118 °C, R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 600MHz) δ 7.73 (d, J = 8.4 Hz, 2H), 7.48-7.41 (m, 5H), 7.30 (d, J = 7.8 Hz, 2H), 7.28-7.23 (m, 3H), 7.05-7.00 (m, 2H), 6.16 (s, 1H), 3.32 (t, J = 7.2 Hz, 2H), 2.40-2.35 (m, 5H).

^{13}C NMR (CDCl_3 , 151MHz) δ 162.8 (d, $^1J_{\text{C-F}}$ = 250.8 Hz), 160.9, 157.8, 147.6, 144.8, 135.5, 133.8, 132.2, 130.1, 130.0, 129.8 (d, $^3J_{\text{C-F}}$ = 7.9 Hz), 128.8, 128.7, 128.5, 124.0 (d, $^3J_{\text{C-F}}$ = 3.6 Hz), 122.3, 115.4 (d, $^2J_{\text{C-F}}$ = 21.0 Hz), 114.8, 111.5 (d, $^2J_{\text{C-F}}$ = 15.6 Hz), 90.9, 76.0, 47.7, 21.8, 21.6, 19.6.

IR (KBr) ν 1719, 1653, 1533, 1360, 1032, 842, 746 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{29}\text{H}_{24}\text{FNO}_4\text{S}$ (M^+): 501.1410; Found: 501.1410.



4-(4-Chlorophenyl)-1-tosylindoline (5a)

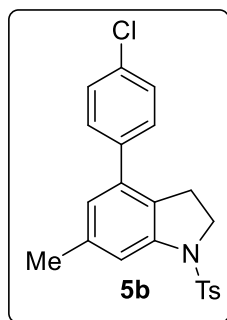
White solid, m. p. 120-122 °C (73 mg, 95 % yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:20).

^1H NMR (CDCl_3 , 400MHz) δ 7.69 (d, J = 8.0 Hz, 2H), 7.63 (d, J = 8.0 Hz, 1H), 7.32 (d, J = 8.4 Hz, 2H), 7.24-7.18 (m, 5H), 6.94 (d, J = 7.6 Hz, 1H), 3.87 (t, J = 8.4 Hz, 2H), 2.88 (t, J = 8.4 Hz, 2H), 2.36 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 144.3, 142.7, 138.5, 137.9, 134.1, 133.6, 129.8, 129.6, 129.5, 128.8, 128.5, 127.6, 124.2, 114.1, 50.2, 27.9, 21.7.

IR (KBr) ν 2929, 2363, 2210, 1604, 1466, 1354, 1079, 693, 561 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{21}\text{H}_{18}\text{ClNO}_2\text{S}$ (M^+): 383.0747; Found: 383.0747.



4-(4-Chlorophenyl)-6-methyl-1-tosylindoline (5b)

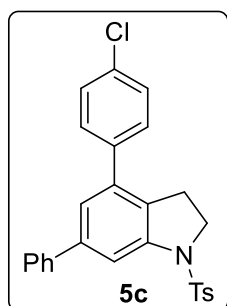
White solid, m. p. 145-147 °C (70 mg, 88% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:20).

^1H NMR (CDCl_3 , 600MHz) δ 7.71 (d, J = 8.4 Hz, 2H), 7.50 (s, 1H), 7.34 (d, J = 8.4 Hz, 2H), 7.26 (d, J = 7.8 Hz, 2H), 7.21 (d, J = 8.4 Hz, 2H), 6.80 (s, 1H), 3.89 (t, J = 8.4 Hz, 2H), 2.85 (t, J = 8.4 Hz, 2H), 2.40 (s, 3H), 2.39 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 144.2, 142.8, 138.62, 138.58, 137.5, 134.1, 133.4, 129.8, 129.6, 128.7, 127.5, 126.6, 125.0, 114.9, 50.5, 27.5, 21.8, 21.7.

IR (KBr) ν 2925, 2363, 2216, 1605, 1467, 1359, 1091, 701, 558 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{22}\text{H}_{20}\text{ClNO}_2\text{S}$ (M^+): 397.0903; Found: 397.0904.



4-(4-Chlorophenyl)-6-phenyl-1-tosylindoline (5c)

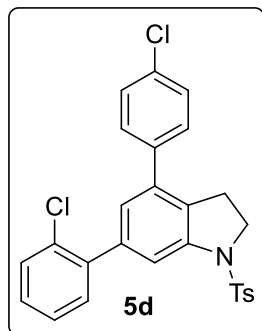
White solid, m. p. 174-176 °C (81 mg, 88% yield), R_f = 0.3 (EtOAc/ Petroleum ether 1:20).

^1H NMR (CDCl_3 , 400MHz) δ 7.71 (s, 1H), 7.61 (d, J = 8.4 Hz, 2H), 7.50 (d, J = 7.6 Hz, 2H), 7.33 (t, J = 8.4 Hz, 2H), 7.23 (d, J = 8.4 Hz, 3H), 7.14-7.11 (m, 4H), 7.01 (s, 1H), 3.82 (t, J = 8.4 Hz, 2H), 2.80 (t, J = 8.4 Hz, 2H), 2.24 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 144.4, 143.3, 142.0, 140.7, 138.5, 138.1, 134.1, 133.7, 129.9, 129.6, 129.0, 128.8, 128.5, 127.8, 127.5, 127.3, 123.3, 112.7, 50.5, 27.6, 21.7.

IR (KBr) ν 2933, 2361, 2220, 1610, 1471, 1354, 1079, 699, 563 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{27}\text{H}_{22}\text{ClNO}_2\text{S}$ (M^+): 459.1060; Found: 459.1059.



6-(2-Chlorophenyl)-4-(4-chlorophenyl)-1-tosylindoline (5d)

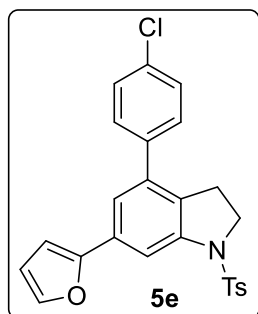
White solid, m. p. 179-181 °C (76 mg, 77% yield), $R_f = 0.3$ (EtOAc/ Petroleum ether 1:20).

^1H NMR (CDCl_3 , 600MHz) δ 7.71 (d, $J = 7.8$ Hz, 2H), 7.67 (s, 1H), 7.43-7.42 (m, 1H), 7.30-7.17 (m, 9H), 6.97 (s, 1H), 3.88 (t, $J = 8.4$ Hz, 2H), 2.91 (t, $J = 8.4$ Hz, 2H), 2.32 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 144.4, 142.6, 140.14, 140.07, 138.2, 137.5, 133.9, 133.7, 132.6, 131.5, 130.1, 129.9, 129.7, 129.0, 128.82, 128.77, 127.7, 127.1, 125.4, 115.0, 50.4, 27.7, 21.7.

IR (KBr) ν 2927, 2364, 2223, 1605, 1468, 1358, 1081, 696, 554 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{27}\text{H}_{21}\text{Cl}_2\text{NO}_2\text{S}$ (M^+): 493.0670; Found: 493.0671.



4-(4-Chlorophenyl)-6-(furan-3-yl)-1-tosylindoline (5e)

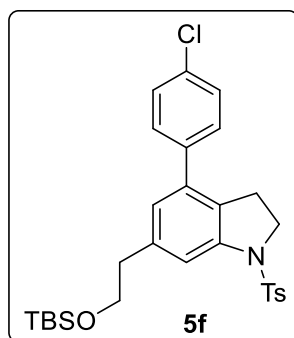
White solid, m. p. 164-67 °C (58 mg, 65% yield), $R_f = 0.3$ (EtOAc/ Petroleum ether 1:20).

^1H NMR (CDCl_3 , 600MHz) δ 7.85 (s, 1H), 7.75 (d, $J = 8.4$ Hz, 2H), 7.40-7.36 (m, 4H), 7.30-7.25 (m, 5H), 7.13 (s, 1H), 3.92 (t, $J = 8.4$ Hz, 2H), 2.90 (t, $J = 8.4$ Hz, 2H), 2.39 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 144.4, 143.3, 138.4, 138.1, 138.0, 137.2, 134.1, 133.7, 129.9, 129.6, 128.9, 128.8, 128.2, 128.0, 127.5, 126.7, 123.0, 111.7, 50.4, 27.7, 21.7.

IR (KBr) ν 2929, 2363, 2218, 1614, 1459, 1354, 1138, 702, 572 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{25}\text{H}_{20}\text{ClNO}_3\text{S}$ (M^+): 449.0852; Found: 449.0852.



6-(2-((*tert*-Butyldimethylsilyl)oxy)ethyl)-4-(4-chlorophenyl)-1-tosylindoline (5f)

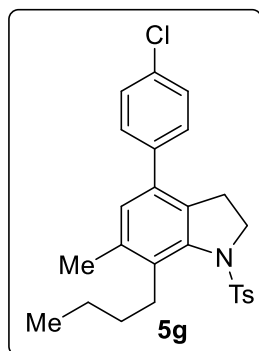
White solid, m. p. 155-156 °C (99 mg, 92% yield), $R_f = 0.3$ (EtOAc/ Petroleum ether 1:20).

^1H NMR (CDCl_3 , 600MHz) δ 7.71 (d, $J = 8.4$ Hz, 2H), 7.51 (s, 1H), 7.34 (d, $J = 8.4$ Hz, 2H), 7.25 (d, $J = 8.4$ Hz, 2H), 7.21 (d, $J = 8.4$ Hz, 2H), 6.84 (s, 1H), 3.89 (t, $J = 8.4$ Hz, 2H), 3.83 (t, $J = 7.2$ Hz, 2H), 2.88-2.84 (m, 4H), 2.39 (s, 3H), 0.88 (s, 9H), 0.02 (s, 6H).

^{13}C NMR (CDCl_3 , 151MHz) δ 144.2, 142.8, 140.0, 138.6, 137.5, 134.2, 133.5, 129.8, 129.6, 128.7, 127.6, 127.4, 125.3, 114.8, 64.6, 50.5, 39.8, 27.5, 26.1, 21.7, 18.5, -5.2.

IR (KBr) ν 2930, 2364, 2221, 1609, 1462, 1355, 1079, 693, 568 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{29}\text{H}_{36}\text{ClNO}_3\text{SSi}$ (M^+): 541.1874; Found:541.1874.



7-Butyl-4-(4-chlorophenyl)-6-methyl-1-tosylindoline (5g)

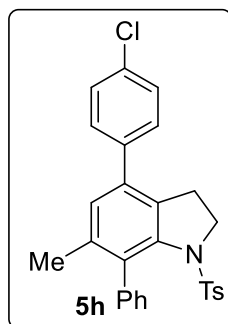
White solid, m. p. 183-185 °C (58 mg, 64% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:20).

^1H NMR (CDCl_3 , 600MHz) δ 7.41 (d, $J = 8.4$ Hz, 2H), 7.28 (d, $J = 8.4$ Hz, 2H), 7.20 (d, $J = 7.6$ Hz, 2H), 7.01 (s, 1H), 6.95 (d, $J = 8.4$ Hz, 2H), 3.89 (t, $J = 7.2$ Hz, 2H), 3.17 (t, $J = 7.2$ Hz, 2H), 2.44 (s, 3H), 2.43 (s, 3H), 1.98 (t, $J = 7.2$ Hz, 2H), 1.54-1.49 (m, 2H), 1.43-1.37 (m, 2H), 0.95 (t, $J = 7.2$ Hz, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 144.2, 142.6, 138.7, 137.4, 136.4, 134.6, 134.2, 133.5, 133.2, 129.6, 129.44, 129.39, 128.6, 128.2, 53.1, 31.8, 29.1, 28.8, 23.1, 21.7, 19.9, 14.2.

IR (KBr) ν 2932, 2363, 1467, 1361, 1162, 1085, 831, 688, 572 cm^{-1} .

HRMS (EI) calcd for $C_{26}H_{28}ClNO_2S$ (M^+): 453.1529; Found:453.1530.



4-(4-Chlorophenyl)-6-methyl-7-phenyl-1-tosylindoline (5h)

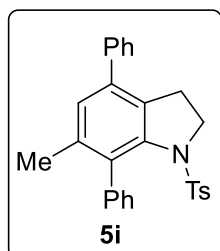
White solid, m. p. 203-205 °C (69 mg, 73 % yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:20).

1H NMR ($CDCl_3$, 600MHz) δ 7.43-7.35 (m, 7H), 7.23 (d, J = 8.4 Hz, 2H), 7.18-7.14 (m, 5H), 3.93 (t, J = 7.2 Hz, 2H), 2.50 (t, J = 7.2 Hz, 2H), 2.40 (s, 3H), 2.27 (s, 3H).

^{13}C NMR ($CDCl_3$, 151MHz) δ 143.8, 141.9, 138.6, 138.5, 137.0, 136.2, 135.8, 135.4, 133.6, 133.5, 130.7, 129.5, 129.3, 129.1, 128.8, 127.9, 127.7, 126.9, 53.0, 29.8, 21.7, 21.1.

IR (KBr) ν 2933, 2362, 1465, 1359, 1164, 1084, 831, 688, 578 cm^{-1} .

HRMS (EI) calcd for $C_{28}H_{24}ClNO_2S$ (M^+): 473.1216; Found: 473.1218.



6-Methyl-4,7-diphenyl-1-tosylindoline (5i)

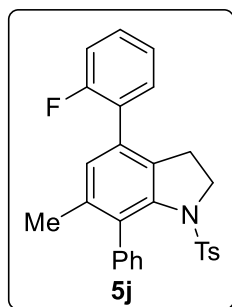
White solid, m. p. 166-168 °C (68 mg, 77 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1:20).

1H NMR ($CDCl_3$, 600MHz) δ 7.32-7.23 (m, 8H), 7.17-7.13 (m, 4H), 7.10 (s, 1H), 7.06-7.48 (m, 2H), 3.83 (s, 2H), 2.39 (s, 2H), 2.31 (s, 3H), 2.18 (s, 3H).

^{13}C NMR ($CDCl_3$, 151MHz) δ 143.7, 141.8, 140.1, 138.7, 137.4, 136.7, 135.8, 135.0, 133.6, 130.7, 129.3, 129.3, 128.5, 128.2, 127.8, 127.7, 127.4, 126.8, 53.0, 29.8, 21.6, 21.1.

IR (KBr) ν 2933, 2362, 1465, 1359, 1164, 1084, 831, 689, 575 cm^{-1} .

HRMS (EI) calcd for $C_{28}H_{25}NO_2S$ (M^+): 439.1606; Found: 439.1605.



4-(2-Fuorophenyl)-6-methyl-7-phenyl-1-tosylindoline (5j)

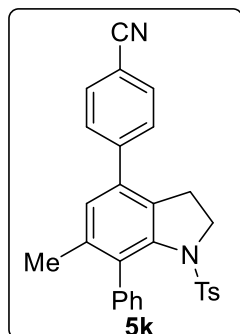
White solid, m. p. 170-173 °C (57 mg, 62% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:20).

^1H NMR (CDCl_3 , 600MHz) δ 7.44-7.43 (m, 4H), 7.734-7.28 (m, 4H), 7.21-7.14 (m, 5H), 7.19 (t, $J = 9.0$ Hz, 1H), 3.95 (t, $J = 7.2$ Hz, 2H), 2.41 (s, 3H), 2.32 (t, $J = 7.2$ Hz, 2H), 2.29 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 159.5 (d, $^1J_{\text{C-F}} = 247.6$ Hz), 143.7, 141.5, 138.7, 136.5, 135.6, 135.4, 135.1, 131.7, 131.1 (d, $^3J_{\text{C-F}} = 4.5$ Hz), 130.6, 130.1, 129.5 (d, $^3J_{\text{C-F}} = 9.1$ Hz), 129.4, 127.8, 127.53, 127.5 (d, $^2J_{\text{C-F}} = 15.1$ Hz), 126.9, 124.2 (d, $^3J_{\text{C-F}} = 3.6$ Hz), 115.9 (d, $^2J_{\text{C-F}} = 22.3$ Hz), 52.9, 29.3, 21.6, 21.1.

IR (KBr) ν 2933, 2363, 1466, 1359, 1167, 1079, 821, 690, 574 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{28}\text{H}_{24}\text{FNO}_2\text{S}$ (M^+): 457.1512; Found:457.1510.



4-(6-Methyl-7-phenyl-1-tosylindolin-4-yl)benzonitrile (5k)

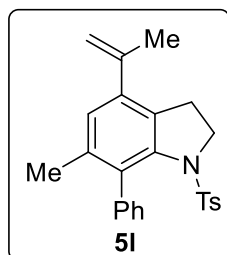
White solid, m. p. 224-226 °C (77 mg, 83% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:10).

^1H NMR (CDCl_3 , 600MHz) δ 7.69 (d, $J = 8.4$ Hz, 2H), 7.43-7.34 (m, 7H), 7.21 (d, $J = 8.4$ Hz, 2H), 7.17 (s, 1H), 7.15 (d, $J = 8.4$ Hz, 2H), 3.94 (t, $J = 7.2$ Hz, 2H), 2.56 (t, $J = 7.2$ Hz, 2H), 2.40 (s, 3H), 2.27 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 144.8, 143.8, 142.3, 138.4, 137.4, 136.2, 135.94, 135.5, 133.7, 132.4, 130.6, 129.4, 129.0, 128.9, 127.9, 127.6, 127.1, 118.8, 111.2, 53.0, 30.0, 21.7, 21.1.

IR (KBr) ν 2927, 2362, 2220, 1602, 1464, 1354, 1164, 1079, 693, 551 cm^{-1} .

HRMS (EI) calcd for $C_{29}H_{24}N_2O_2S$ (M^+): 464.1558; Found: 464.1558.



6-Methyl-7-phenyl-4-(prop-1-en-2-yl)-1-tosylindoline (5l)

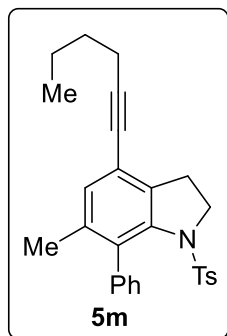
White solid, m. p. 151-152 °C (72 mg, 89% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:20).

1H NMR ($CDCl_3$, 600MHz) δ 7.42-7.31 (m, 5H), 7.22 (d, J = 8.4 Hz, 2H), 7.10 (d, J = 8.4 Hz, 2H), 7.05 (s, 1H), 5.12 (s, 1H), 4.79 (s, 1H), 3.91 (t, J = 7.2 Hz, 2H), 2.42 (t, J = 7.2 Hz, 2H), 2.37 (s, 3H), 2.22 (s, 3H), 1.98 (s, 3H).

^{13}C NMR ($CDCl_3$, 151MHz) δ 143.6, 143.4, 141.5, 138.8, 138.7, 136.3, 135.7, 134.8, 132.9, 130.7, 129.3, 127.76, 127.72, 127.68, 126.8, 115.3, 52.9, 30.0, 23.1, 21.6, 21.1.

IR (KBr) ν 2929, 2362, 1465, 1358, 1164, 1083, 831, 689, 577 cm^{-1} .

HRMS (EI) calcd for $C_{25}H_{25}NO_2S$ (M^+): 403.1606; Found: 403.1609.



4-(Hex-1-yn-1-yl)-6-methyl-7-phenyl-1-tosylindoline (5m)

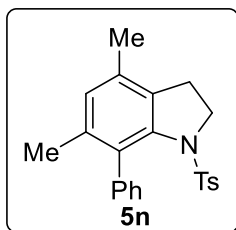
White solid, m. p. 197-199 °C (76 mg, 86% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:20).

1H NMR ($CDCl_3$, 600MHz) δ 7.40-7.31 (m, 5H), 7.21 (d, J = 7.8 Hz, 2H), 7.13-7.11 (m, 3H), 3.95 (t, J = 7.2 Hz, 2H), 2.53 (t, J = 7.2 Hz, 2H), 2.40-2.38 (m, 5H), 2.17 (s, 3H), 1.57-1.53 (m, 2H), 1.48-1.42 (m, 2H), 0.93 (t, J = 7.2 Hz, 3H).

^{13}C NMR ($CDCl_3$, 151MHz) δ 143.7, 141.1, 138.6, 138.0, 136.5, 135.9, 135.2, 131.5, 130.6, 129.4, 127.8, 127.5, 126.9, 119.6, 94.0, 78.2, 52.8, 30.9, 29.8, 22.0, 21.7, 20.9, 19.3, 13.7.

IR (KBr) ν 3434, 2922, 2358, 1358, 1169, 693, 677, 582 cm^{-1} .

HRMS (EI) calcd for C₂₈H₂₉NO₂S (M⁺): 443.1919; Found: 443.1919.



4,6-Dimethyl-7-phenyl-1-tosylindoline (5n)

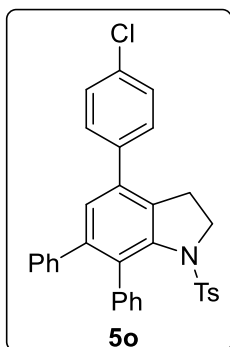
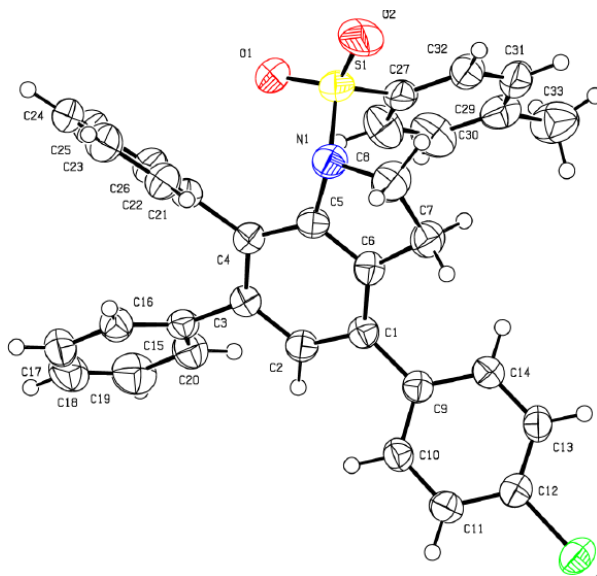
White solid, m. p. 165-167 °C (65 mg, 86% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:20).

¹H NMR (CDCl₃, 600MHz) δ 7.40-7.30 (m, 5H), 7.20 (d, *J* = 8.4 Hz, 2H), 7.10 (d, *J* = 8.4 Hz, 2H), 6.94 (s, 1H), 3.95 (t, *J* = 7.2 Hz, 2H), 2.40 (t, *J* = 7.2 Hz, 2H), 2.37 (s, 3H), 2.19 (s, 3H), 2.13 (s, 3H).

¹³C NMR (CDCl₃, 151MHz) δ 143.5, 140.9, 139.0, 136.2, 136.0, 134.3, 133.1, 132.9, 130.8, 130.0, 129.2, 127.7, 127.6, 126.6, 52.8, 28.7, 21.7, 20.9, 18.8.

IR (KBr) ν 2930, 2360, 1466, 1359, 1161, 1084, 831, 678, 578 cm⁻¹.

HRMS (EI) calcd for C₂₃H₂₃NO₂S (M⁺): 377.1449; Found:377.1445.



4-(4-Chlorophenyl)-6,7-diphenyl-1-tosylindoline (5o)

White solid, m. p. 220-222 °C (79 mg, 74% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:20).

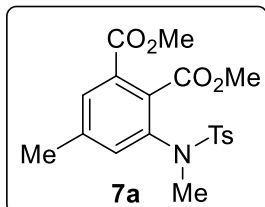
¹H NMR (CDCl₃, 600MHz) δ 7.36 (d, *J* = 8.4 Hz, 2H), 7.29 (s, 1H), 7.27-7.25 (m, 3H), 7.22-7.17 (m, 11H), 7.05-7.04 (m, 2H), 4.02 (t, *J* = 7.2 Hz, 2H), 2.64 (t, *J* = 7.2 Hz, 2H), 2.42 (s, 3H).

¹³C NMR (CDCl₃, 151MHz) δ 143.8, 142.3, 142.2, 141.2, 138.3, 138.1, 136.3, 135.9, 135.4,

134.2, 133.7, 131.4, 130.0, 129.9, 129.6, 129.4, 128.9, 127.8, 127.6, 126.7, 53.0, 30.2, 21.7.

IR (KBr) ν 2935, 2362, 1467, 1359, 1168, 1085, 841, 675, 573 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{33}\text{H}_{26}\text{ClNO}_2\text{S}$ (M^+): 535.1373; Found: 535.1373.



Dimethyl 3-((*N*,4-dimethylphenyl)sulfonamido)-5-methylphthalate (7a)

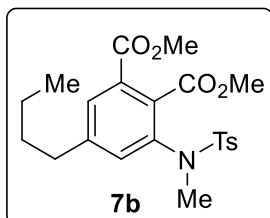
White solid, m. p. 131-133 °C (57 mg, 73% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:5).

^1H NMR (CDCl_3 , 400MHz) δ 7.79 (s, 1H), 7.69 (d, J = 8.0 Hz, 2H), 7.32 (d, J = 8.0 Hz, 2H), 7.06 (s, 1H), 3.87 (s, 3H), 3.83 (s, 3H), 3.11 (s, 3H), 2.45 (s, 3H), 2.34 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 167.8, 165.7, 144.0, 140.8, 139.5, 135.7, 133.9, 133.3, 130.9, 129.7, 129.6, 128.4, 52.8, 39.8, 21.7, 21.3.

IR (KBr) ν 2948, 2358, 1734, 1602, 1438, 1285, 1105, 789 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{19}\text{H}_{21}\text{NO}_6\text{S}$ (M^+): 391.1090; Found: 391.1092.



Dimethyl 5-butyl-3-((*N*,4-dimethylphenyl)sulfonamido)phthalate (7b)

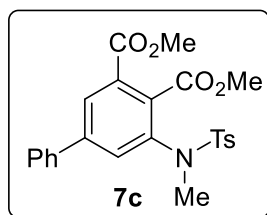
Light yellow oil (56 mg, 65% yield), R_f = 0.2 (EtOAc/ Petroleum ether 1:4).

^1H NMR (CDCl_3 , 400MHz) δ 7.78 (s, 1H), 7.68 (d, J = 8.0 Hz, 2H), 7.32 (d, J = 8.0 Hz, 2H), 6.95 (s, 1H), 3.88 (s, 3H), 3.86 (s, 3H), 3.14 (s, 3H), 2.56 (t, J = 8.0 Hz, 2H), 2.46 (s, 3H), 1.54-1.47 (m, 2H), 1.35-1.26 (m, 2H), 0.92 (t, J = 7.2 Hz, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 167.8, 165.7, 145.7, 144.1, 139.5, 135.4, 134.2, 132.4, 130.3, 129.7, 129.6, 128.3, 52.9, 52.8, 40.0, 35.1, 33.1, 22.3, 21.7, 14.0.

IR (filter) ν 2961, 1738, 1604, 1441, 1290, 1113, 792 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{22}\text{H}_{27}\text{NO}_6\text{S}$ (M^+): 433.1559; Found: 433.1559.



Dimethyl 5-((*N*,4-dimethylphenyl)sulfonamido)-[1,1'-biphenyl]-3,4-dicarboxylate (7c)

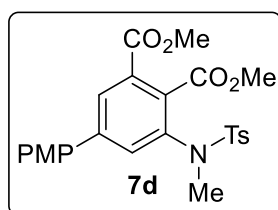
White solid, m. p. 148-151 °C (71 mg, 78% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:4).

^1H NMR (CDCl_3 , 600MHz) δ 8.20 (d, $J = 1.8$ Hz, 1H), 7.73 (d, $J = 8.4$ Hz, 2H), 7.44-7.39 (m, 5H), 7.36-7.34 (m, 3H), 3.92 (s, 3H), 3.90 (s, 3H), 3.20 (s, 3H), 2.47 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 167.6, 165.5, 144.2, 143.4, 140.1, 138.2, 135.4, 135.3, 131.0, 130.3, 129.8, 129.2, 128.76, 128.74, 128.4, 127.2, 52.98, 52.97, 40.2, 21.7.

IR (KBr) ν 2952, 2359, 1735, 1602, 1439, 1285, 1107, 791 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{24}\text{H}_{23}\text{NO}_6\text{S}$ (M^+): 453.1246; Found: 453.1243.



Dimethyl 5-((*N*,4-dimethylphenyl)sulfonamido)-4'-methoxy-[1,1'-biphenyl]-3,4-dicarboxylate (7d)

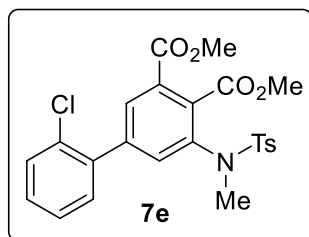
Light yellow oil (60 mg, 62% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:4).

^1H NMR (CDCl_3 , 400MHz) δ 8.15 (s, 1H), 7.73 (d, $J = 8.0$ Hz, 2H), 7.38 (d, $J = 8.8$ Hz, 2H), 7.35 (d, $J = 9.2$ Hz, 2H), 7.28 (s, 1H), 6.95 (d, $J = 8.4$ Hz, 2H), 3.91 (s, 3H), 3.89 (s, 3H), 3.86 (s, 3H), 3.19 (s, 3H), 2.47 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 167.7, 165.6, 160.2, 144.2, 143.0, 140.1, 135.5, 134.5, 130.5, 130.4, 130.2, 129.8, 128.4, 128.3, 128.2, 114.6, 55.6, 53.0, 40.1, 21.8.

IR (filter) ν 2950, 2356, 1729, 1600, 1437, 1286, 1131, 799 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{25}\text{H}_{25}\text{NO}_7\text{S}$ (M^+): 483.1352; Found: 483.1352.



Dimethyl 2'-chloro-5-((N,4-dimethylphenyl)sulfonamido)-[1,1'-biphenyl]-3,4-dicarboxylate (7e)

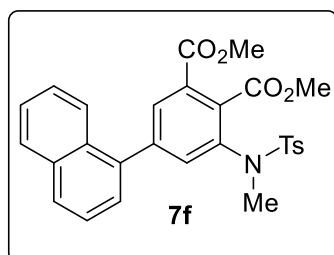
Light yellow oil (69 mg, 71% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:4).

^1H NMR (CDCl_3 , 600MHz) δ 8.06 (s, 1H), 7.72 (d, $J = 7.8$ Hz, 2H), 7.48-7.46 (m, 1H), 7.34-7.30 (m, 4H), 7.28 (s, 1H), 7.24-7.22 (m, 1H), 3.92 (s, 3H), 3.90 (s, 3H), 3.18 (s, 3H), 2.43 (s, 3H).

^{13}C NMR (CDCl_3 , 151MHz) δ 167.5, 165.4, 144.2, 141.7, 139.5, 137.7, 135.9, 135.4, 133.6, 132.4, 131.3, 131.2, 130.3, 129.9, 129.8, 129.6, 128.3, 127.2, 53.04, 52.99, 40.0, 21.7.

IR (filter) ν 2952, 1738, 1604, 1437, 1290, 1109, 829, 791 cm^{-1} .

HRMS (EI) calcd for $\text{C}_{24}\text{H}_{22}\text{ClNO}_6\text{S}$ (M^+): 487.0856; Found: 487.0856.



Dimethyl 3-((N,4-dimethylphenyl)sulfonamido)-5-(naphthalen-1-yl)phthalate (7b)

Light yellow oil (57 mg, 57% yield), $R_f = 0.2$ (EtOAc/ Petroleum ether 1:4).

^1H NMR (CDCl_3 , 600MHz) δ 8.12 (s, 1H), 7.93-7.90 (m, 2H), 7.74 (d, $J = 10.6$ Hz, 2H), 7.71 (d, $J = 8.4$ Hz, 1H), 7.55-7.48 (m, 3H), 7.33-7.30 (m, 2H), 7.27 (d, $J = 7.2$ Hz, 2H), 3.95 (s, 3H), 3.90 (s, 3H), 3.20 (s, 3H), 2.37 (s, 3H).

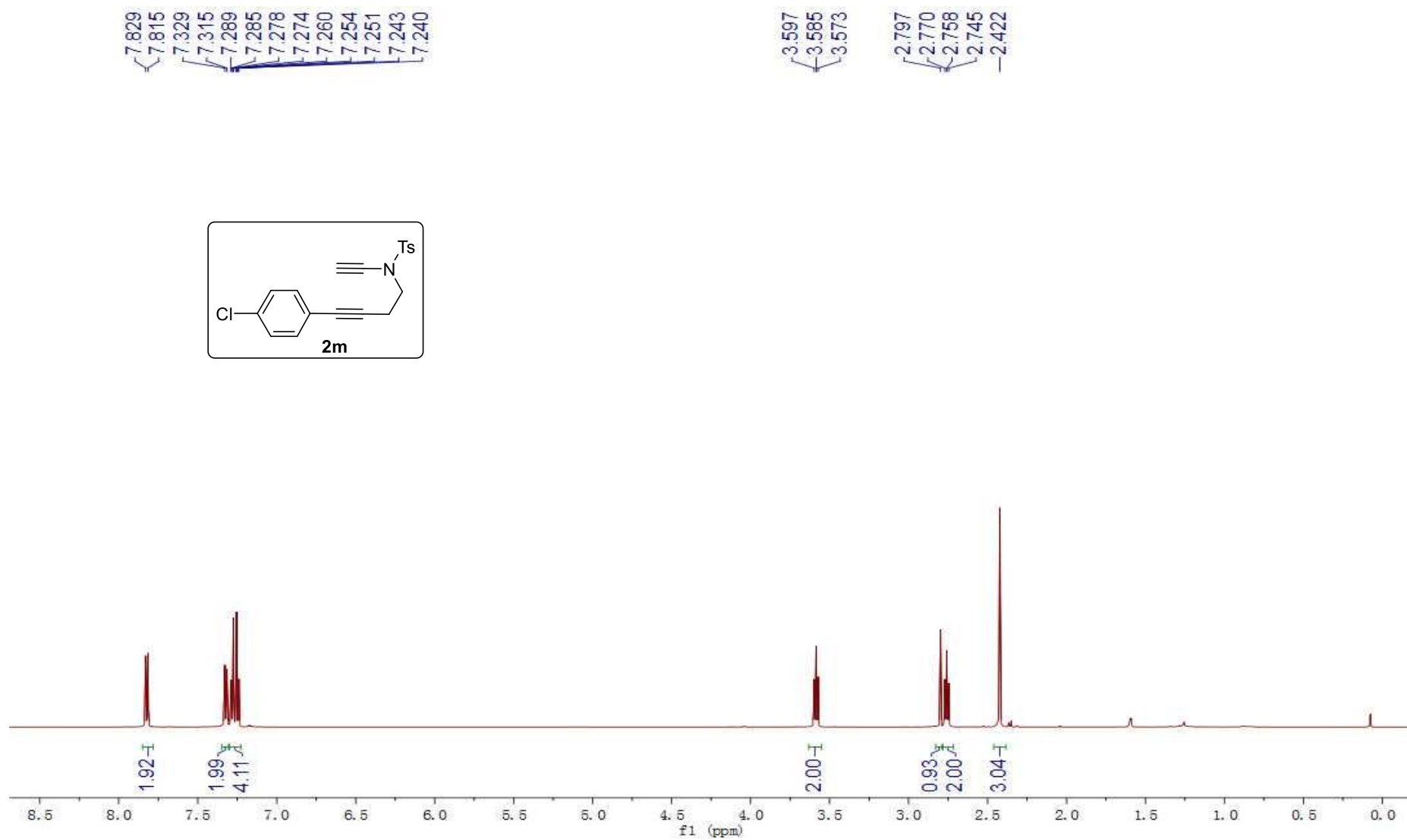
^{13}C NMR (CDCl_3 , 151MHz) δ 167.6, 165.5, 144.1, 143.2, 139.7, 137.2, 135.6, 135.4, 134.0, 133.8, 131.7, 131.1, 129.8, 129.0, 128.6, 128.2, 127.4, 127.2, 126.9, 126.3, 125.3, 125.2, 53.1, 53.0, 40.1, 21.7.

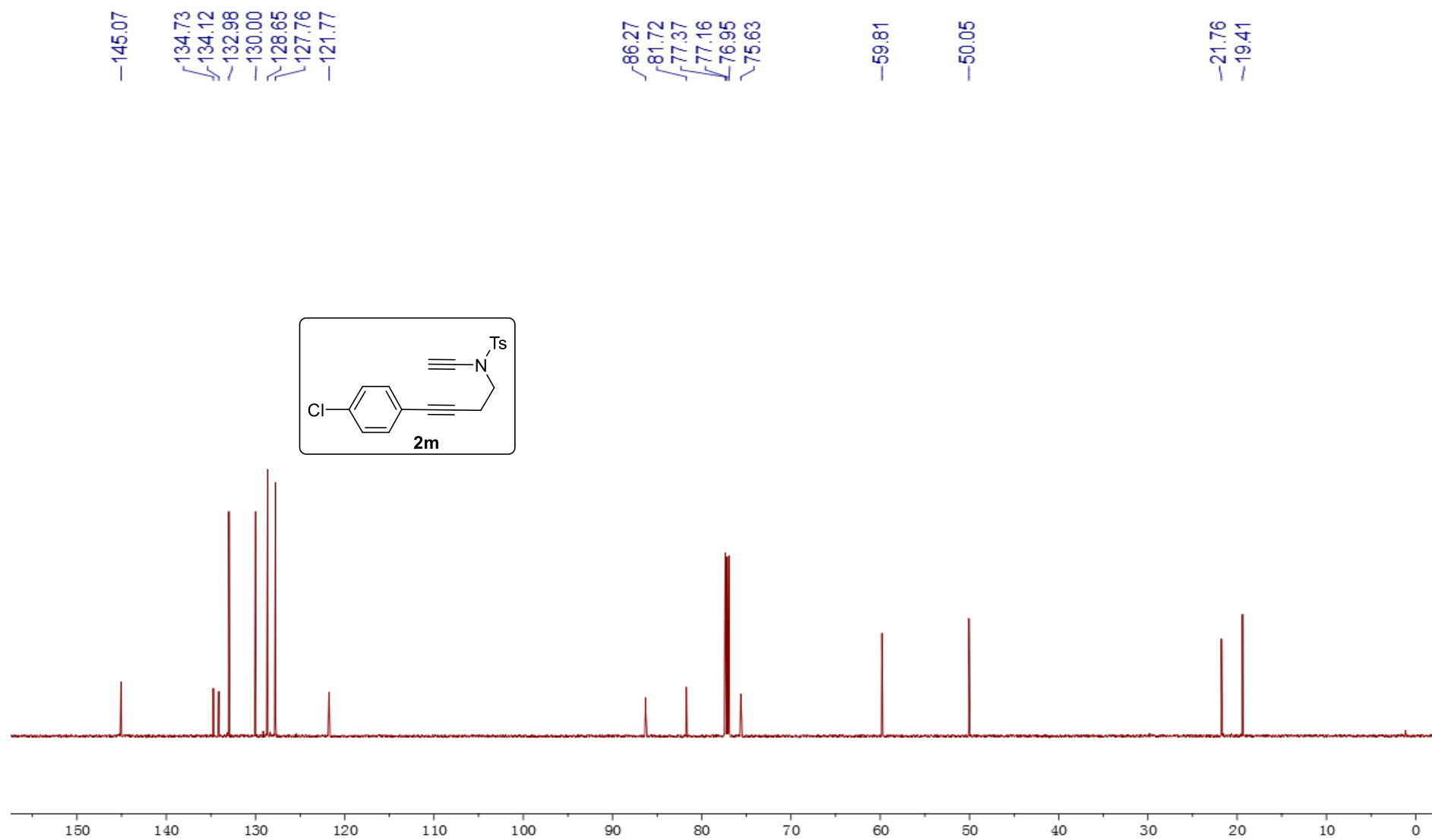
IR (filter) ν 2950, 1737, 1603, 1441, 1291, 1131, 786 cm^{-1} .

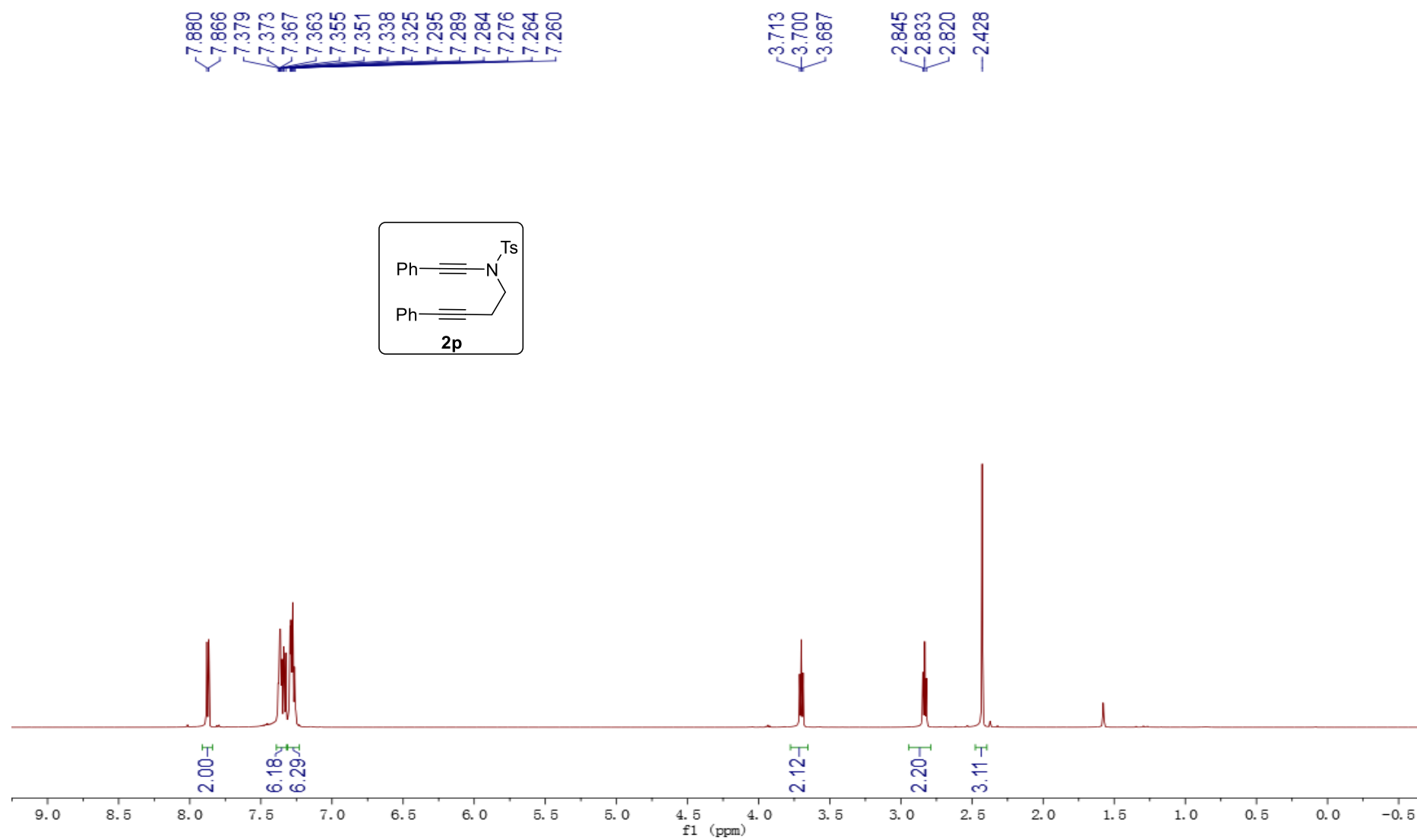
HRMS (EI) calcd for $\text{C}_{28}\text{H}_{25}\text{NO}_6\text{S}$ (M^+): 503.1403; Found: 503.1403

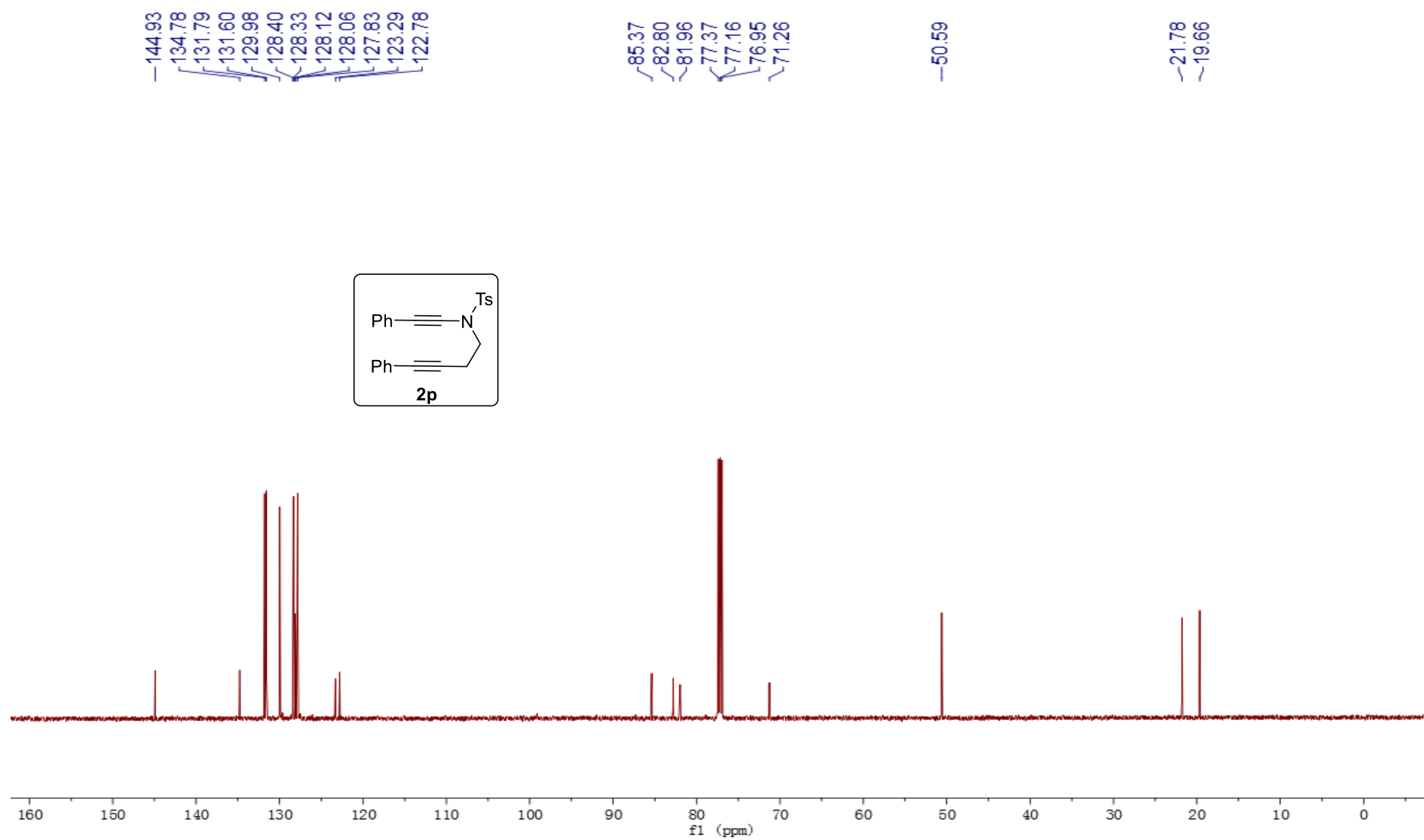
8. References

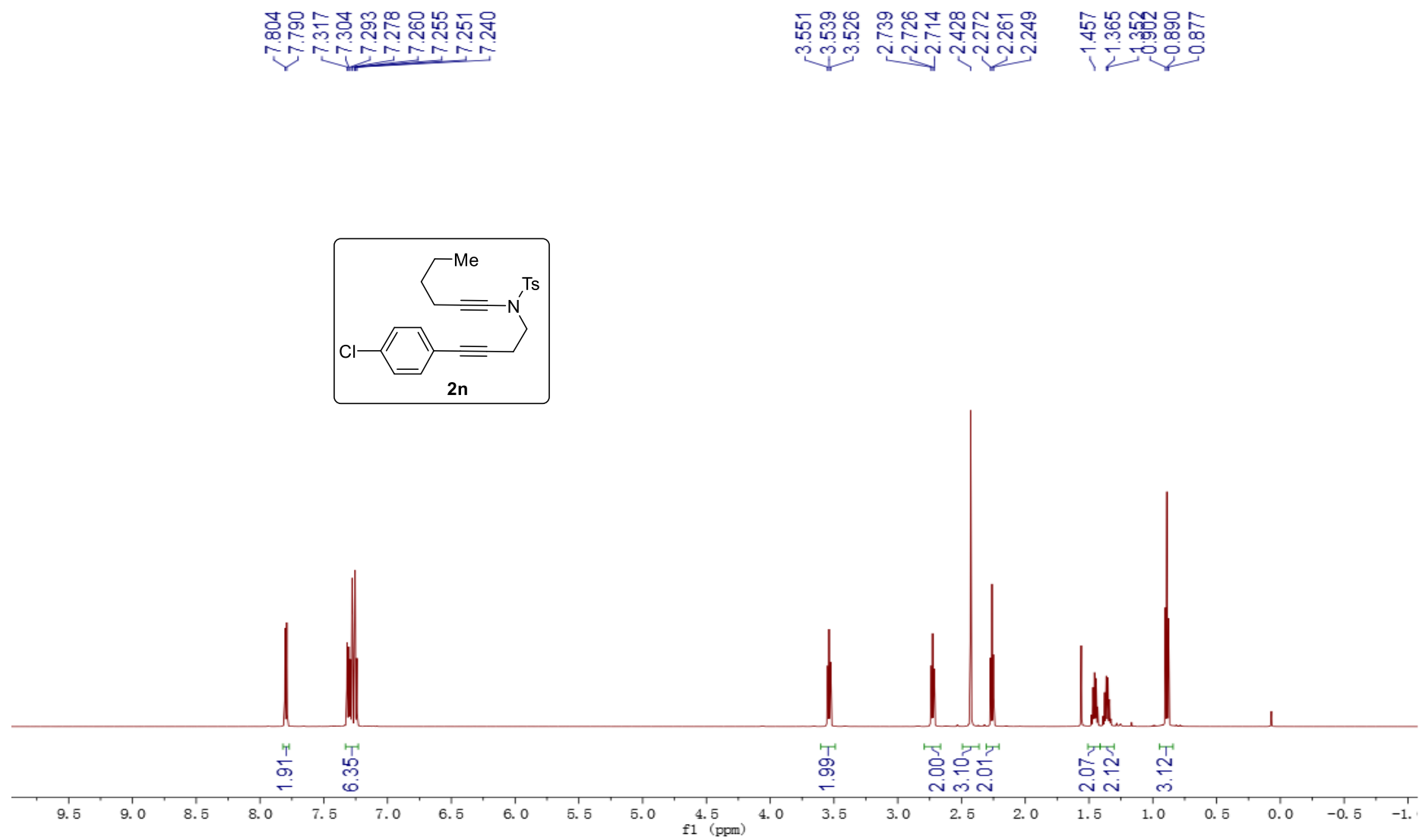
- 1 E. D. Slack, C. M. Gabriel, B. H. Lipshutz, *Angew. Chem., Int. Ed.*, 2014, **53**, 14051.
- 2 K. A. DeKorver, H. Li, A. G. Lohse, R. Hayashi, Z. Lu, Y. Zhang, R. P. Hsung, *Chem. Rev.*, 2010, **110**, 5064.
- 3 P. Mamidipalli, S. Y. Yun, K.-P. Wang, T. Zhou, Y. Xia, D. Lee, *Chem. Sci.*, 2014, **5**, 2362.
- 4 R. Liu, G. N. Winstonmcperson, Z.-Y. Yang, X. Zhou, W. Song, I. A. Guzei, X. Xu, W. Tang, *J. Am. Chem. Soc.*, 2013, **135**, 8201.
- 5 J. R. Dunetz, R. L. Danheiser, *J. Am. Chem. Soc.*, 2005, **127**, 5776.

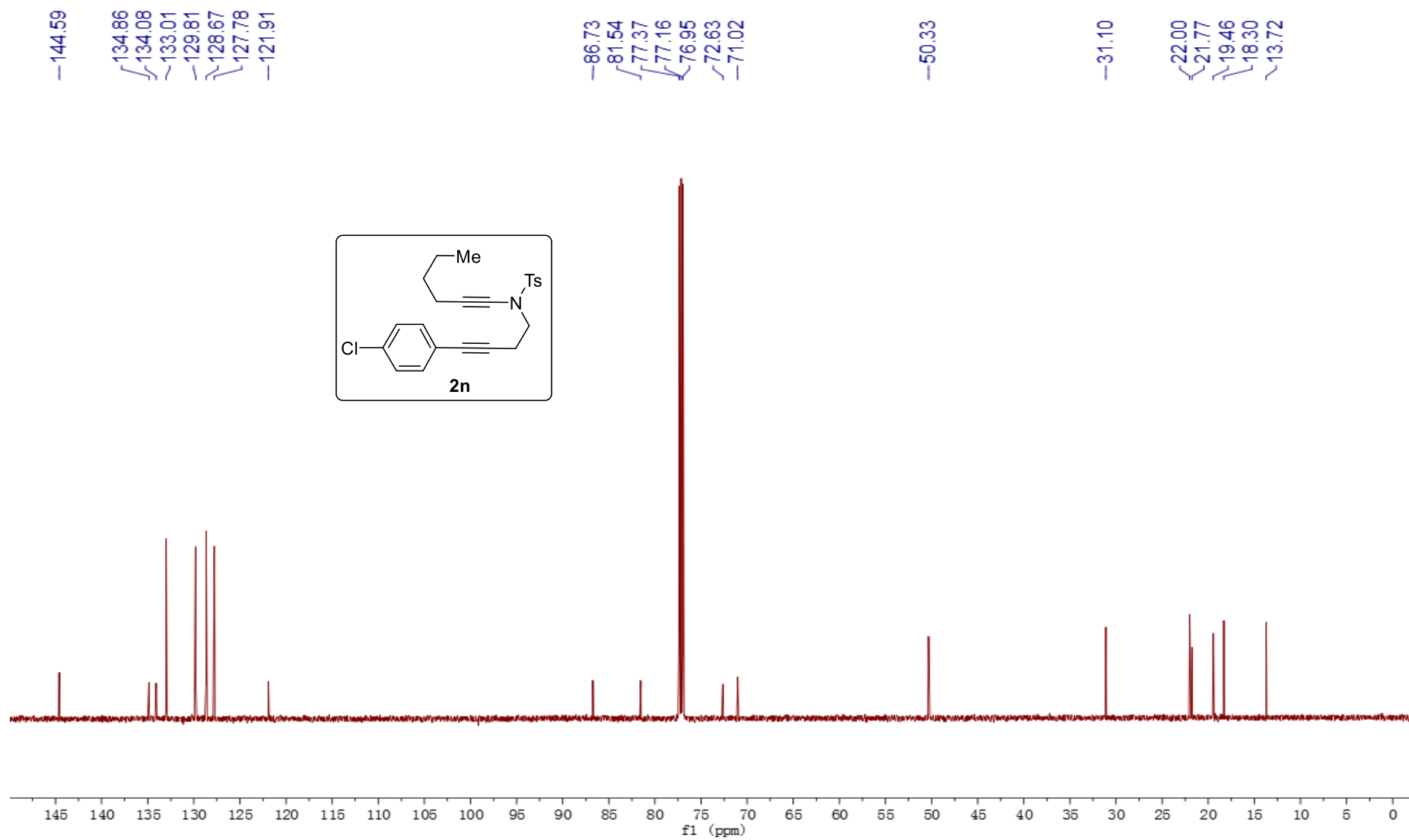


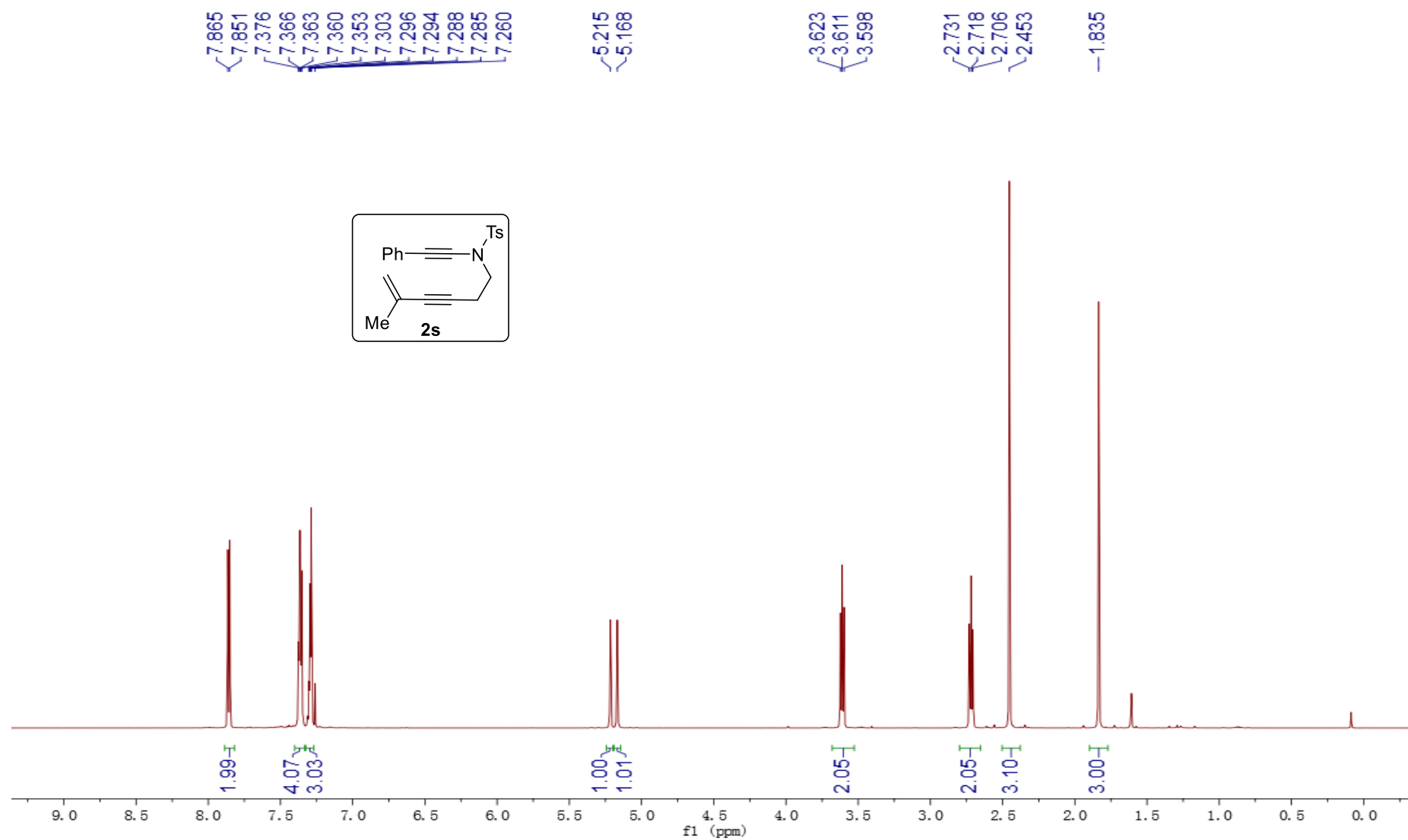


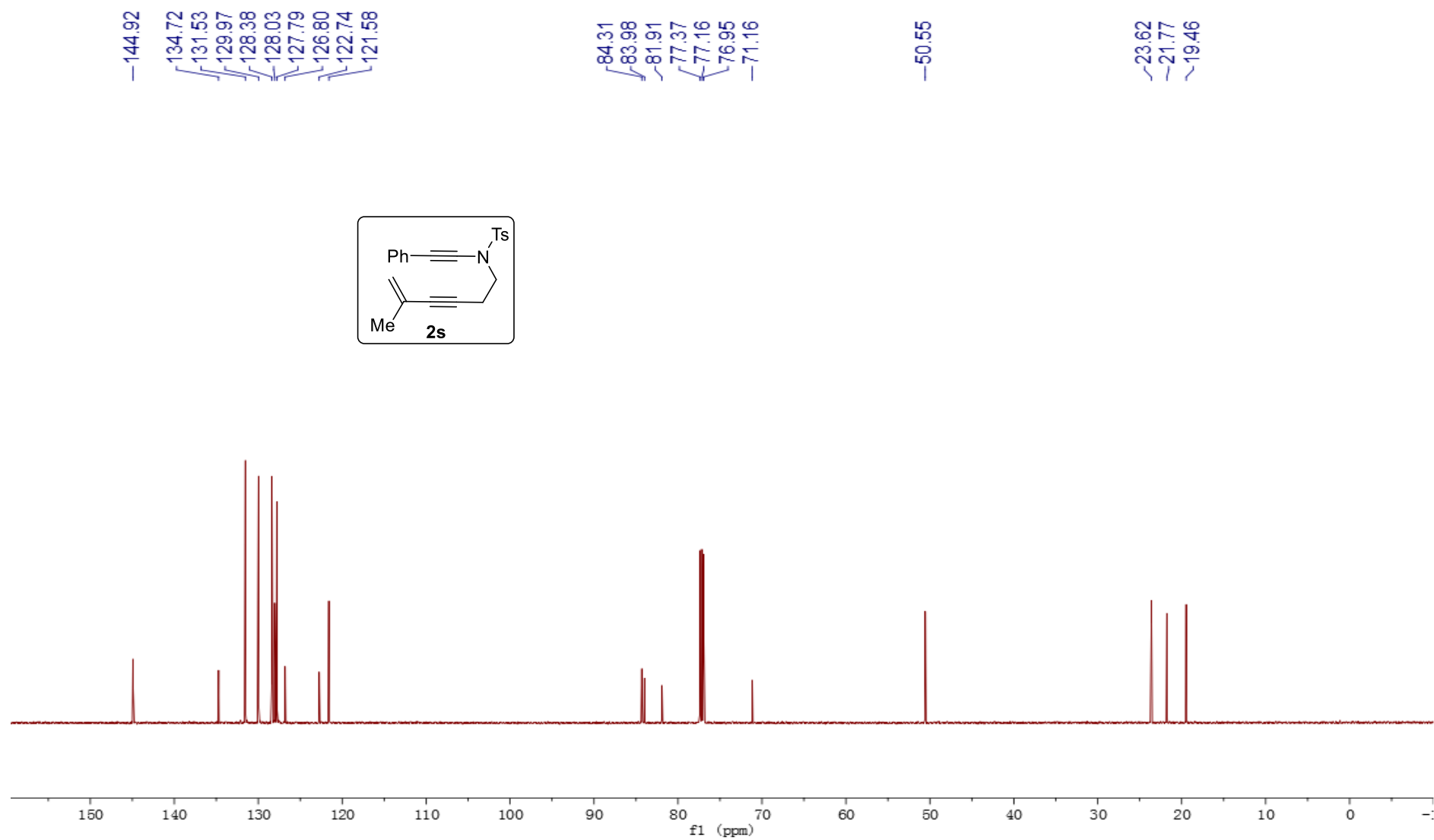


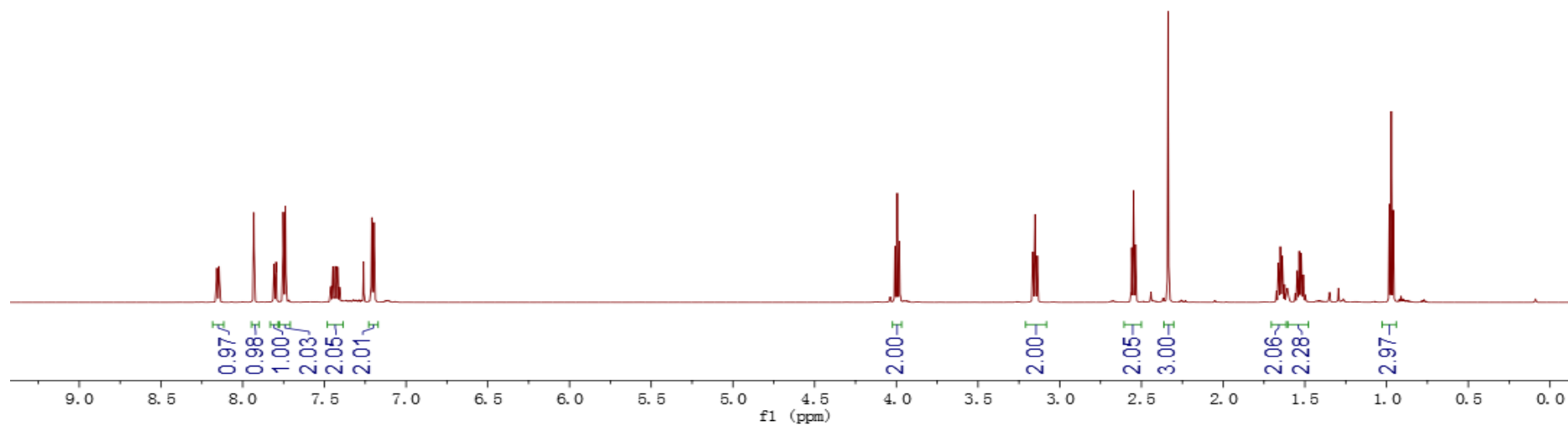
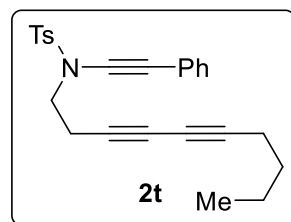


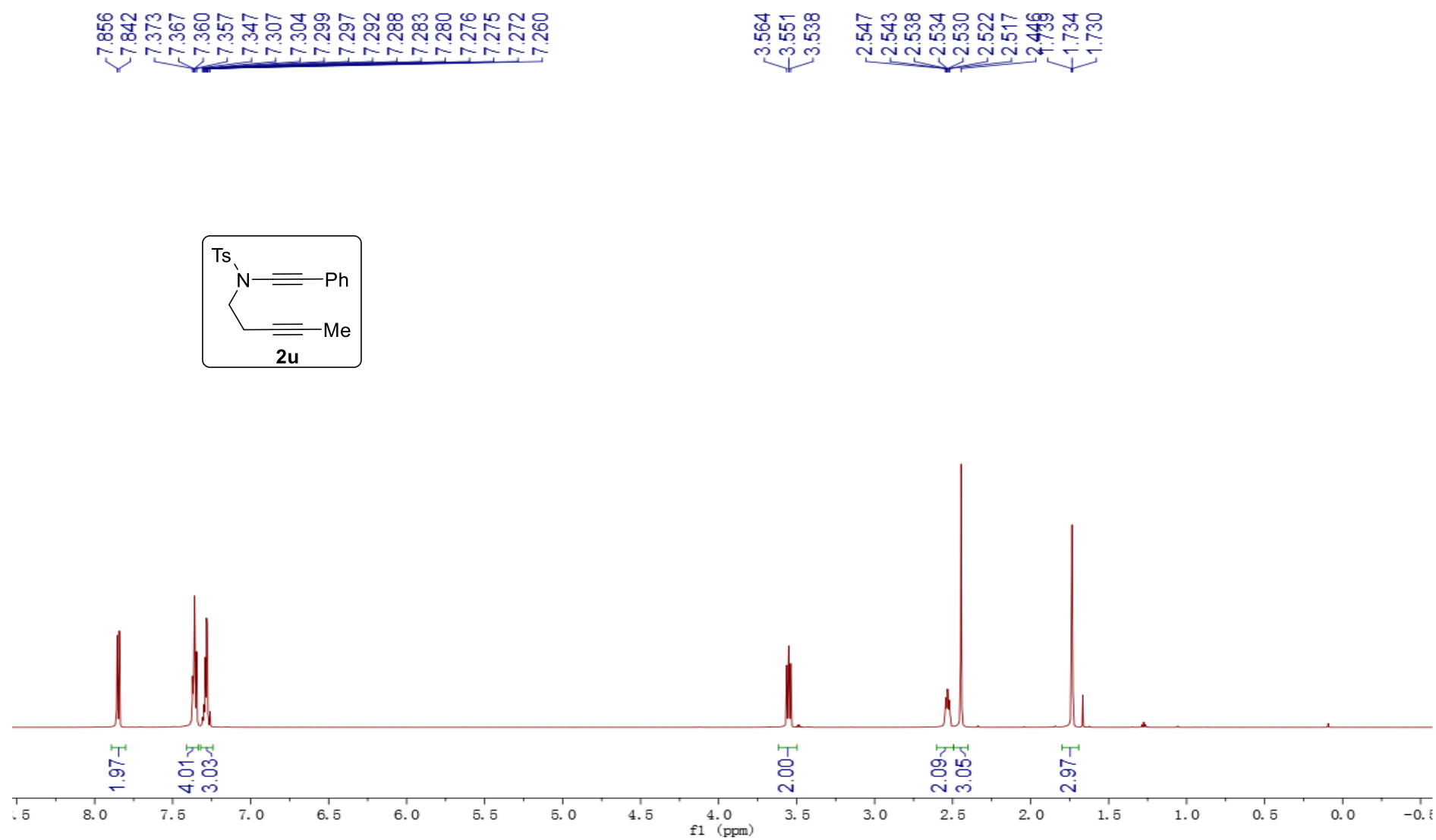


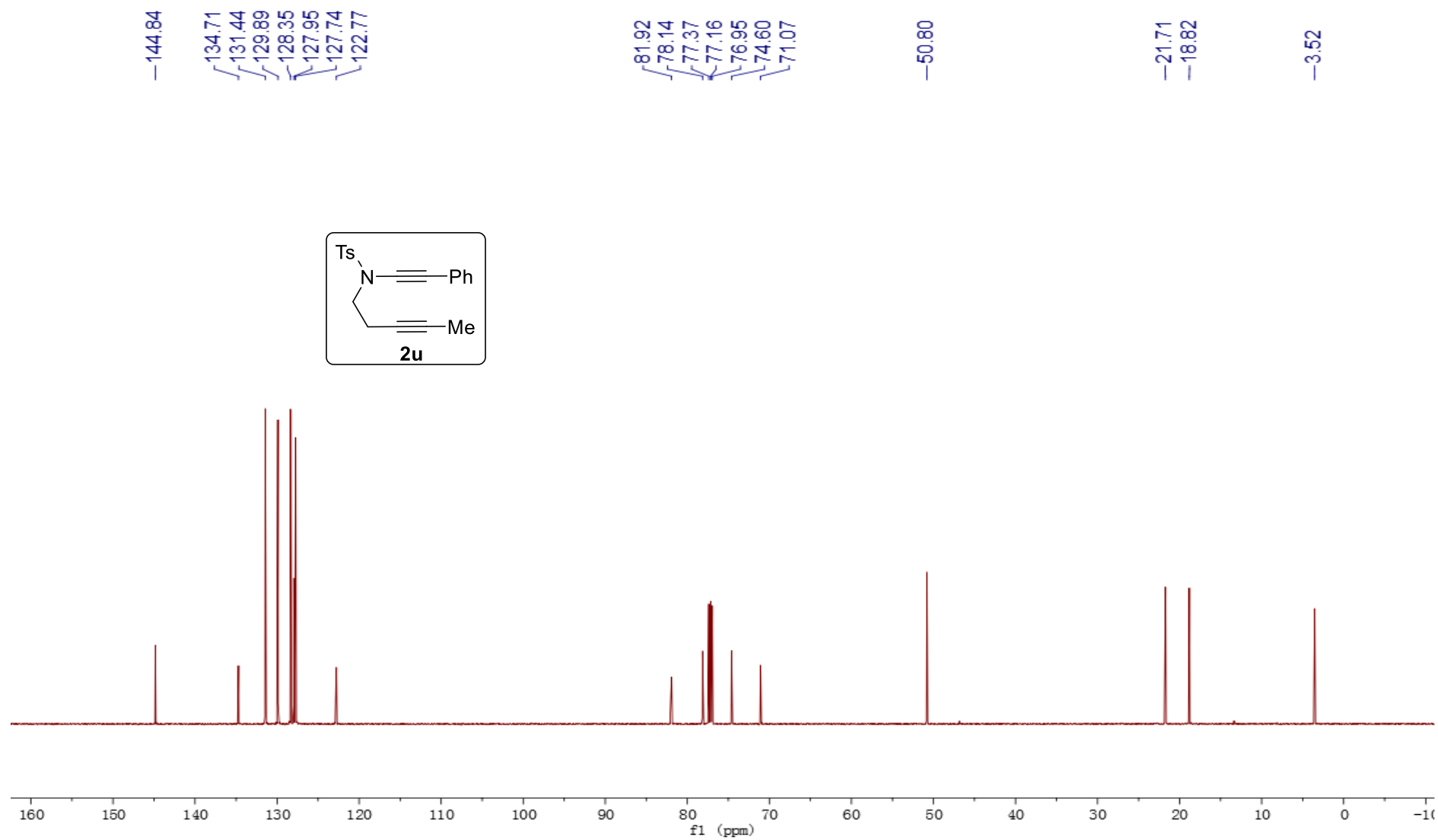


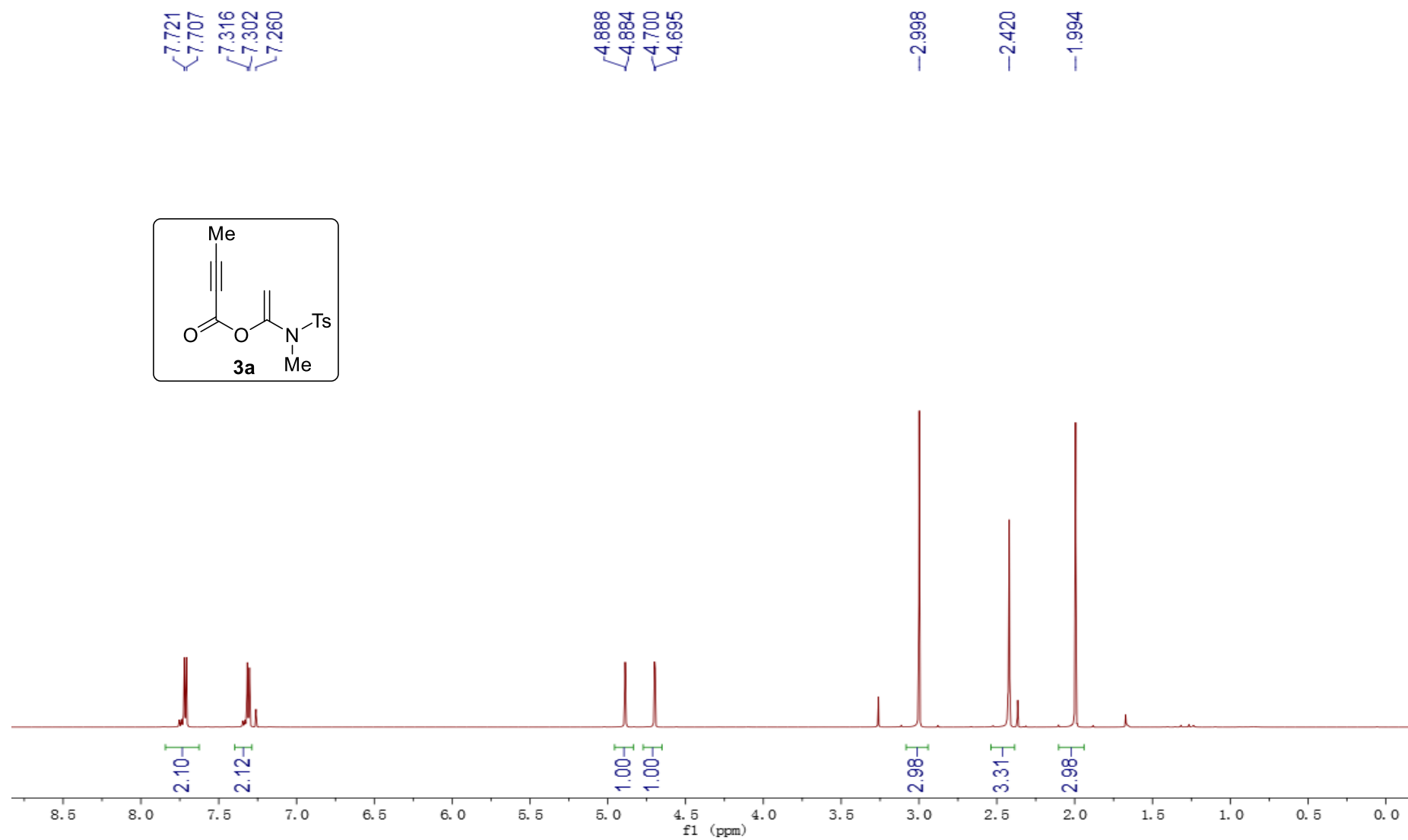


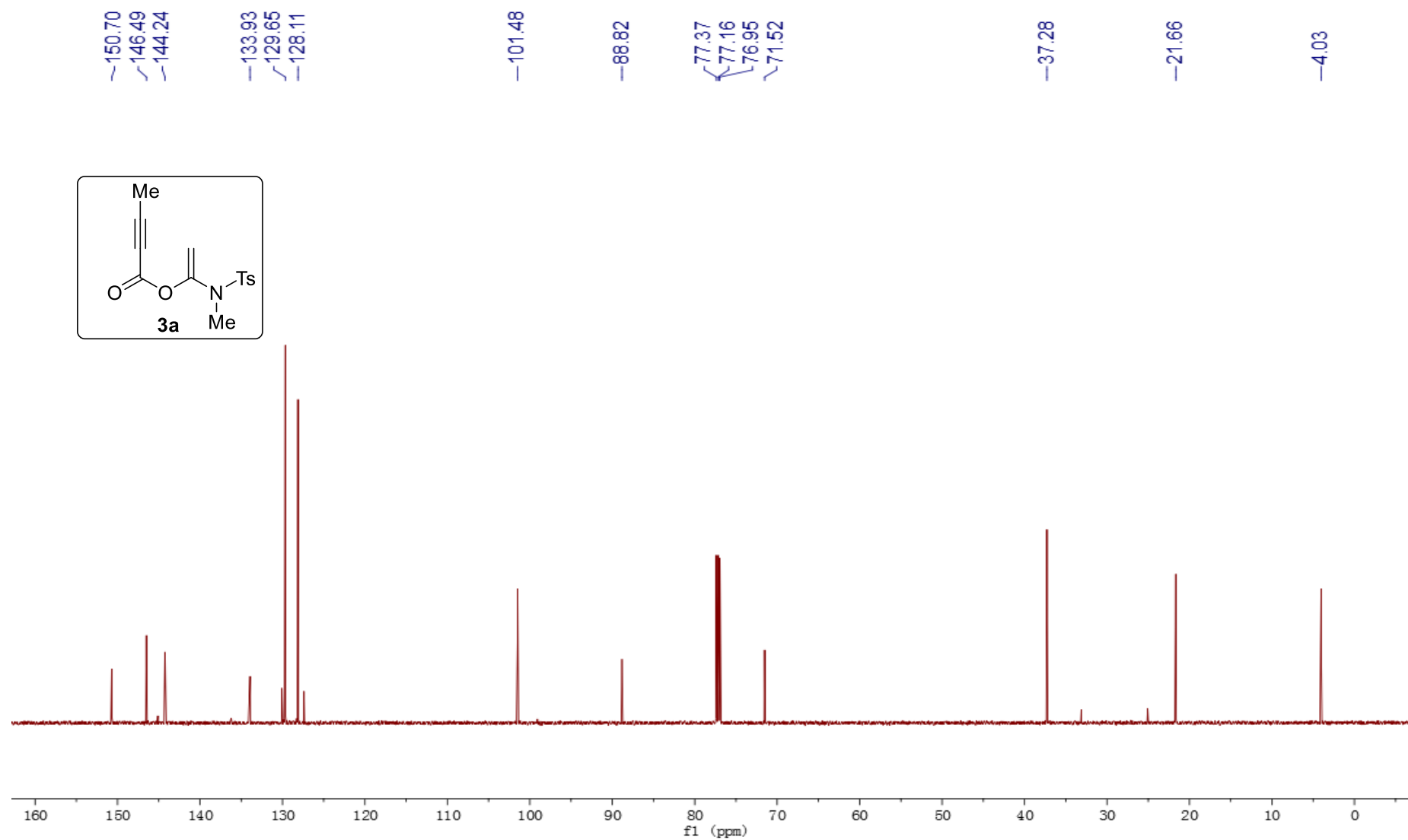


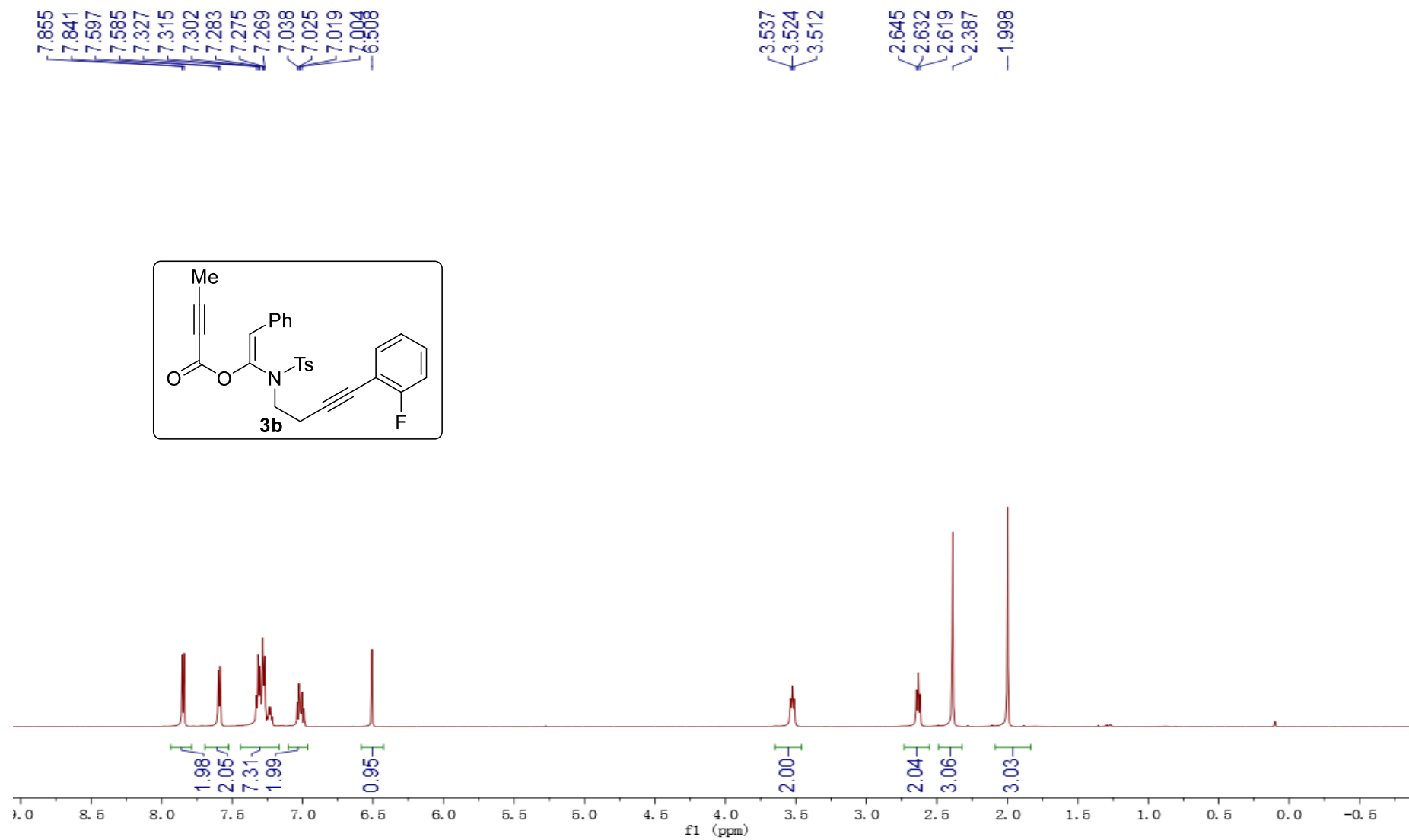












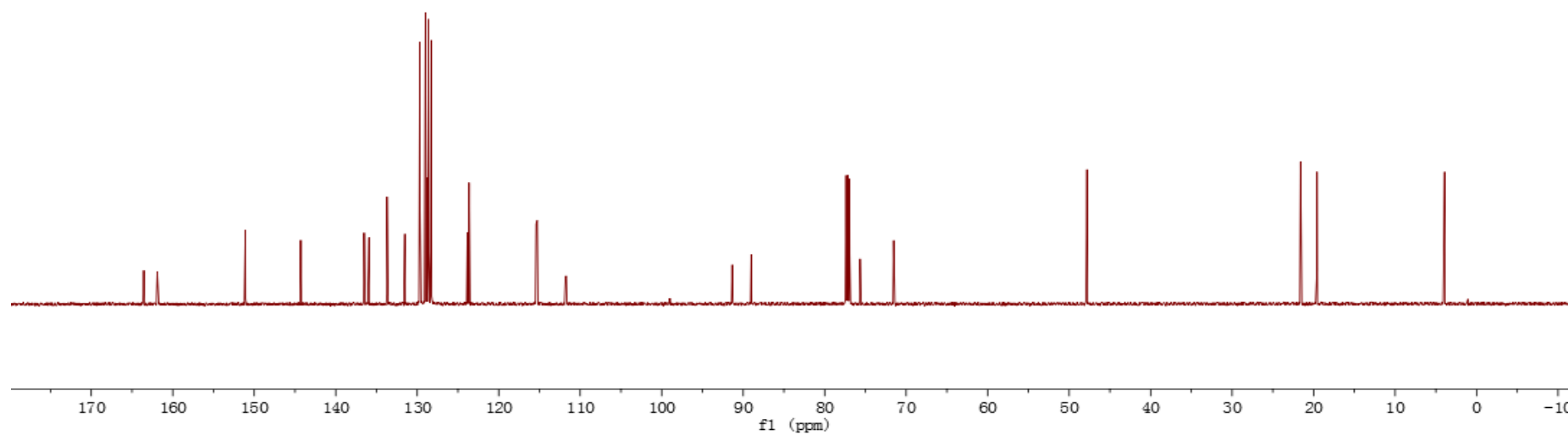
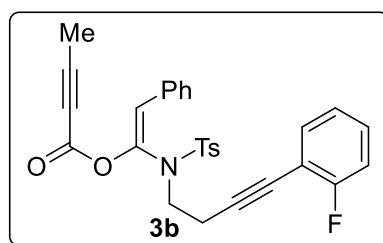
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 —151.09
 —144.29
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 111.70

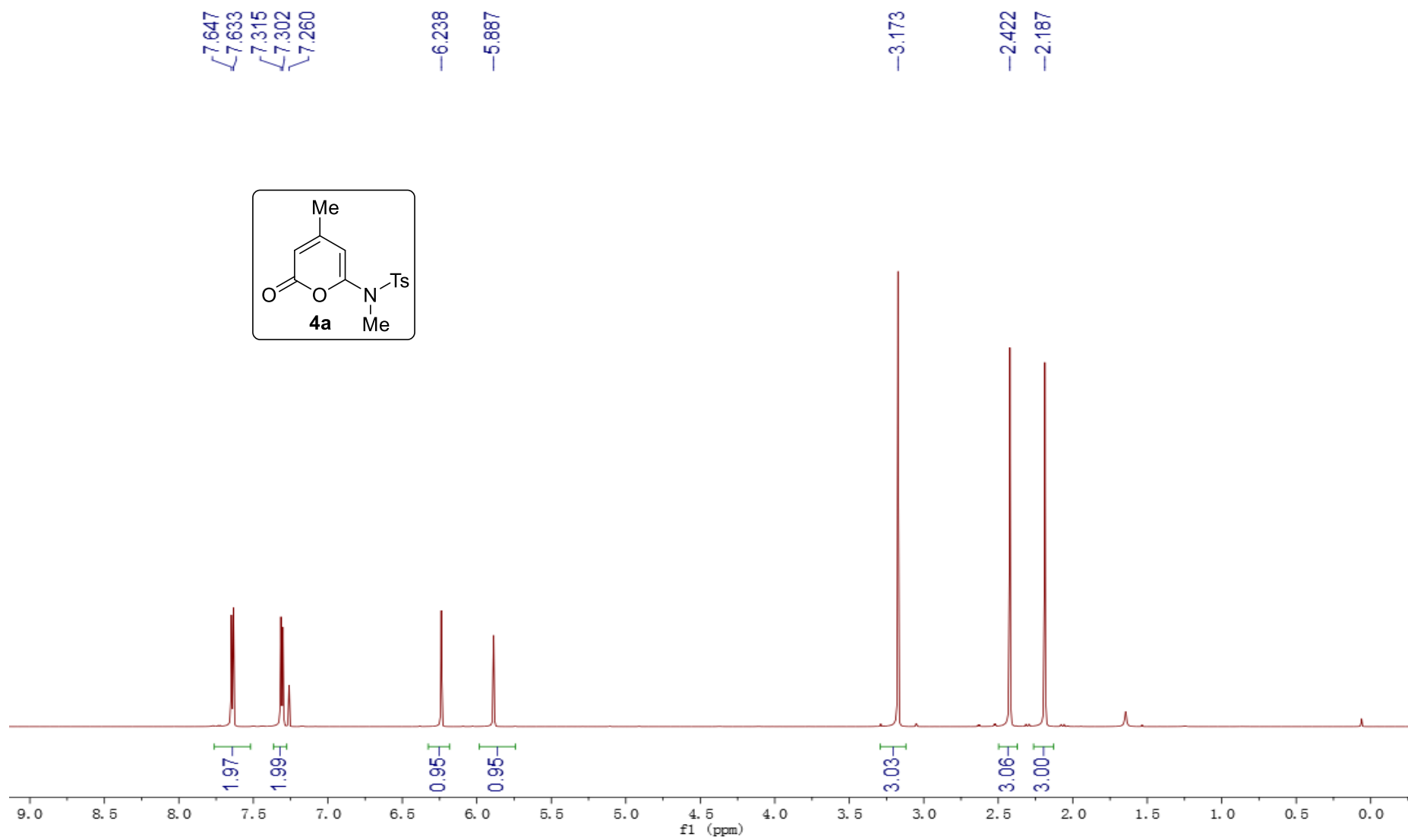
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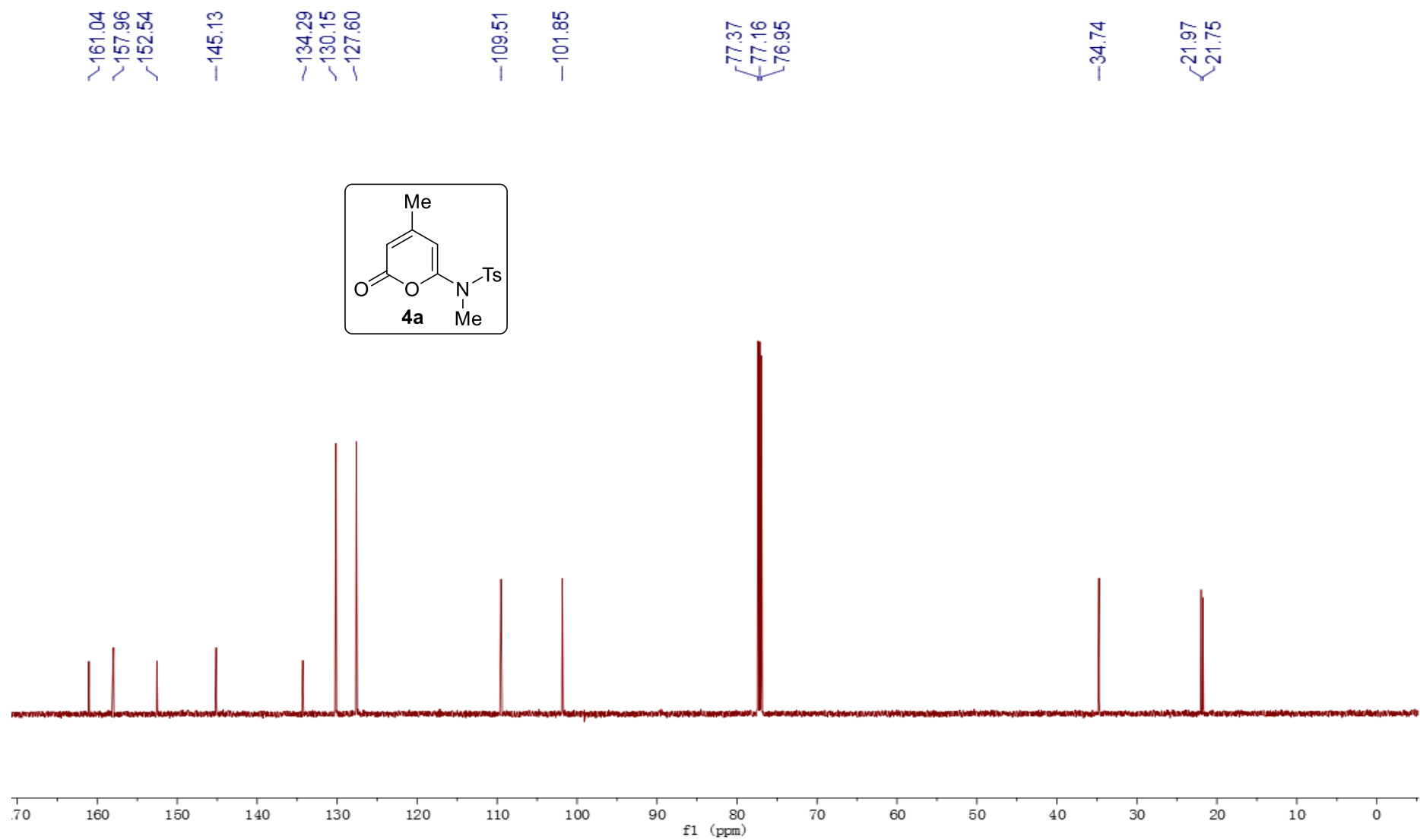
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~21.60
 ~19.58

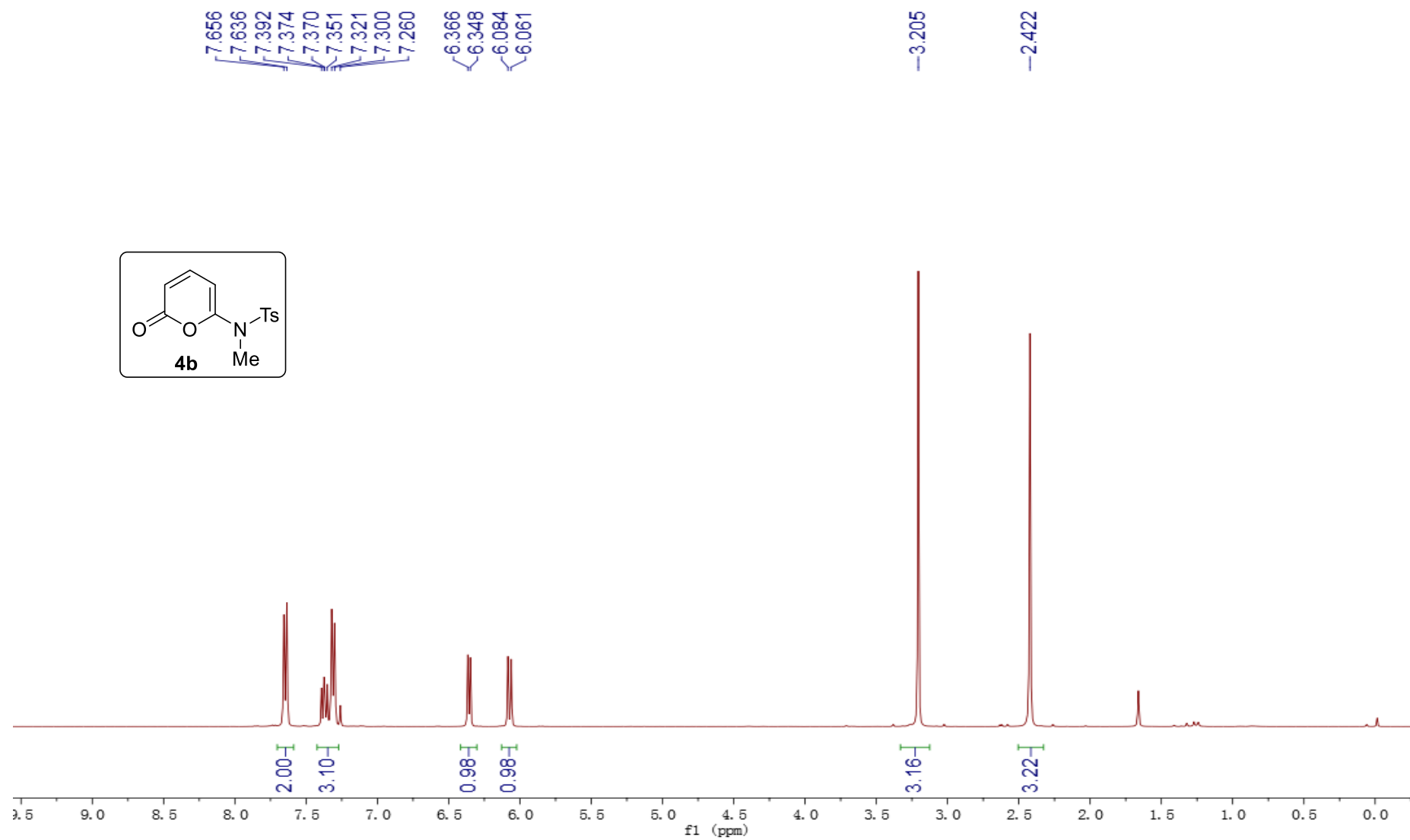
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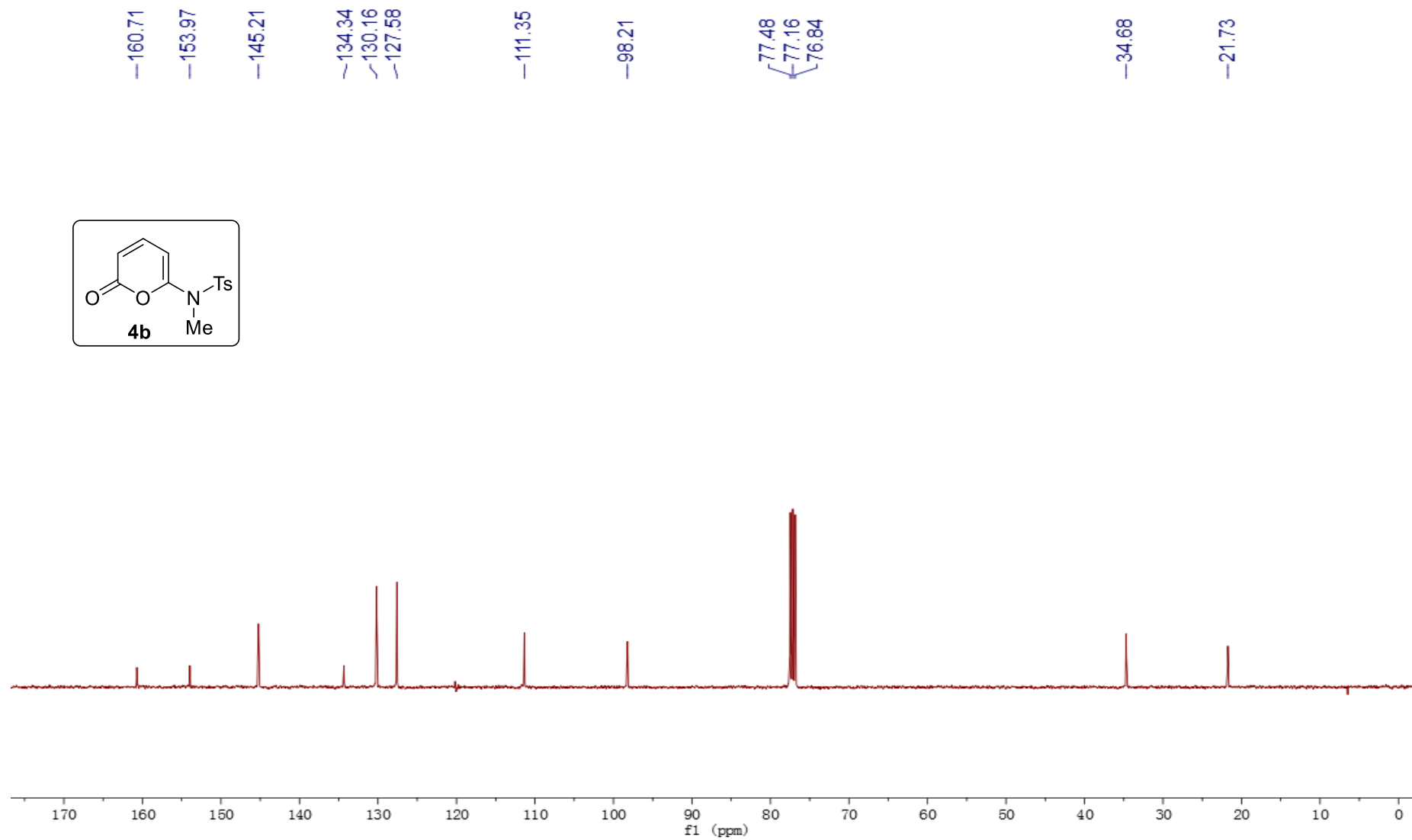
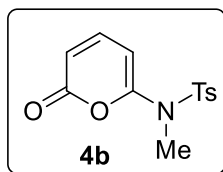


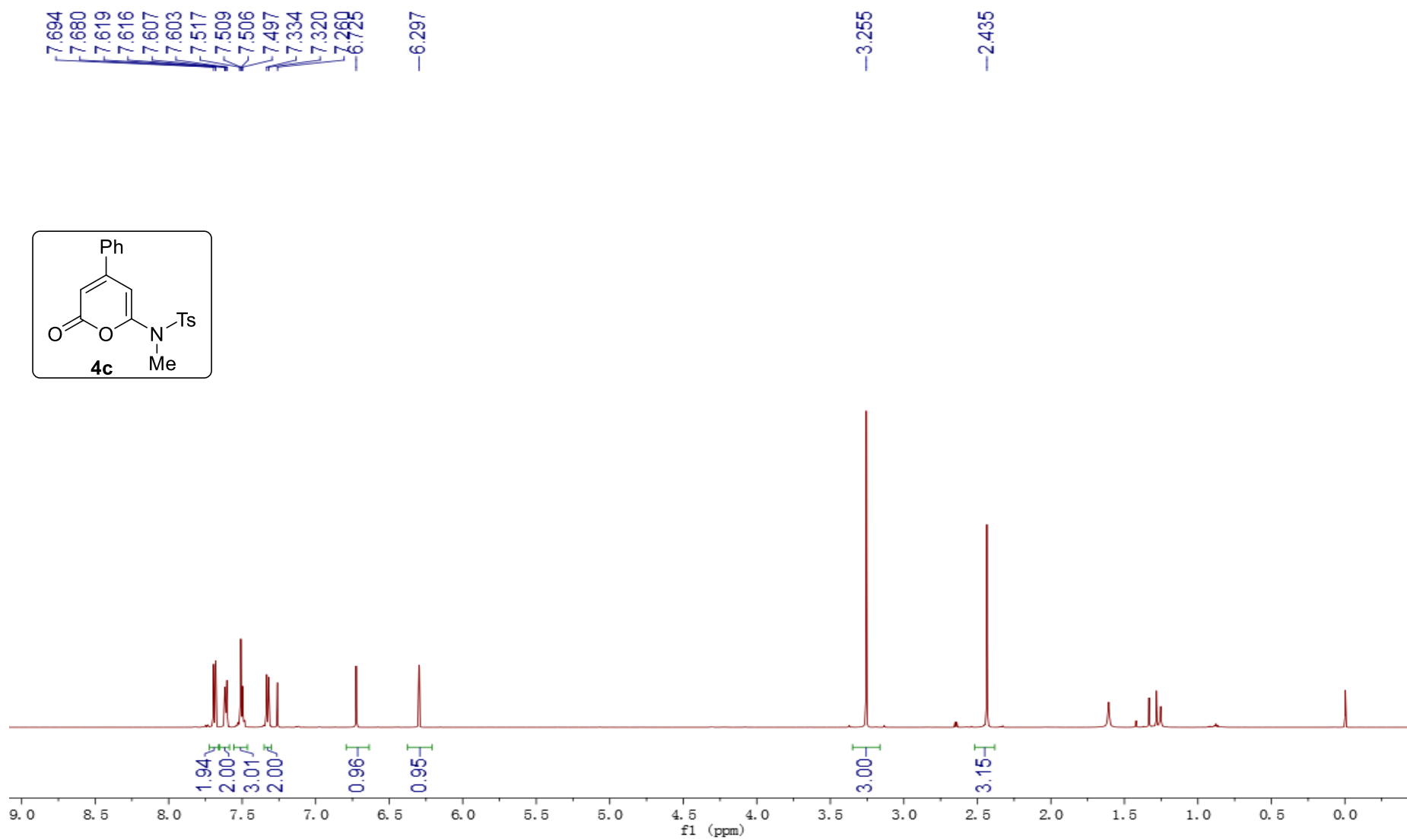


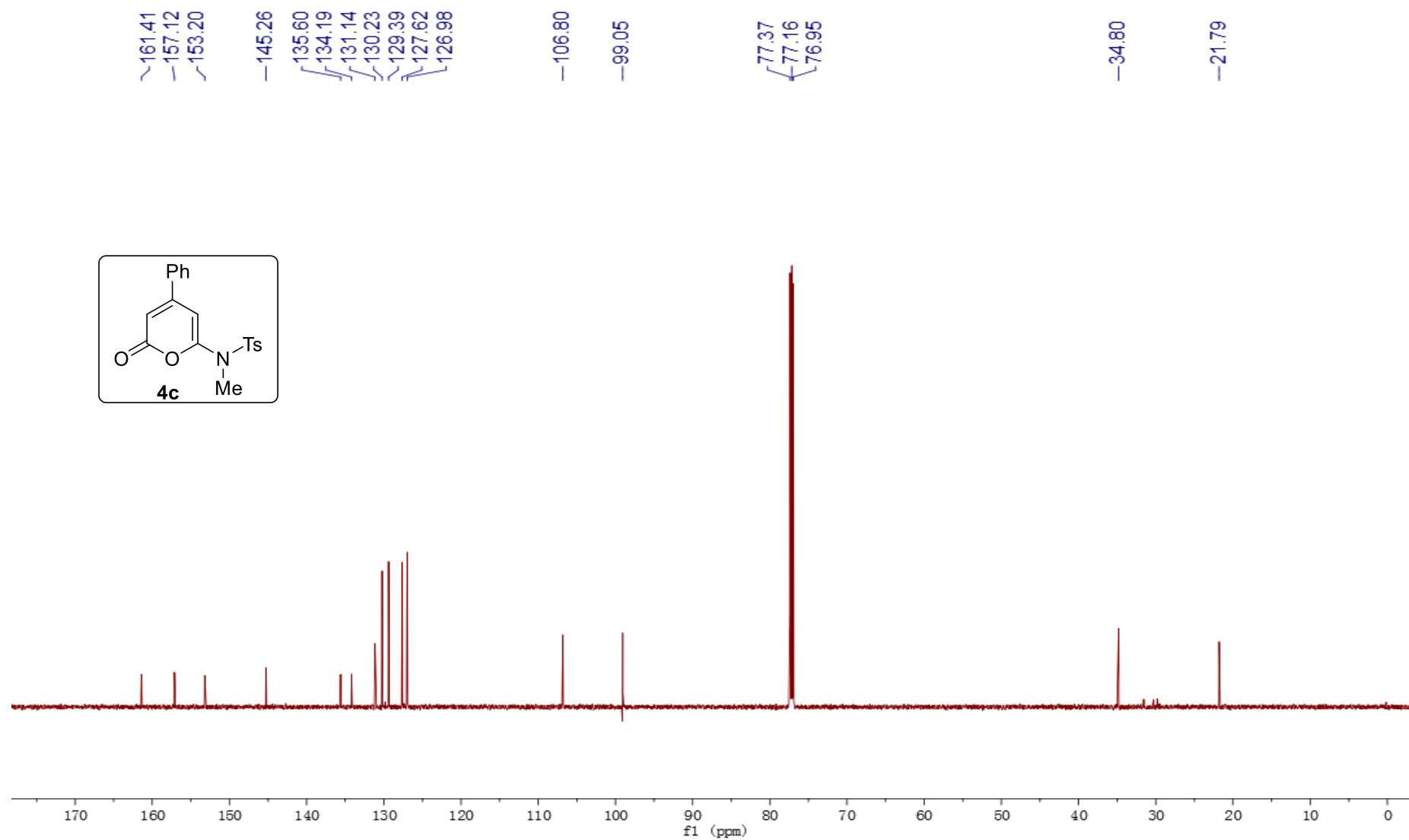


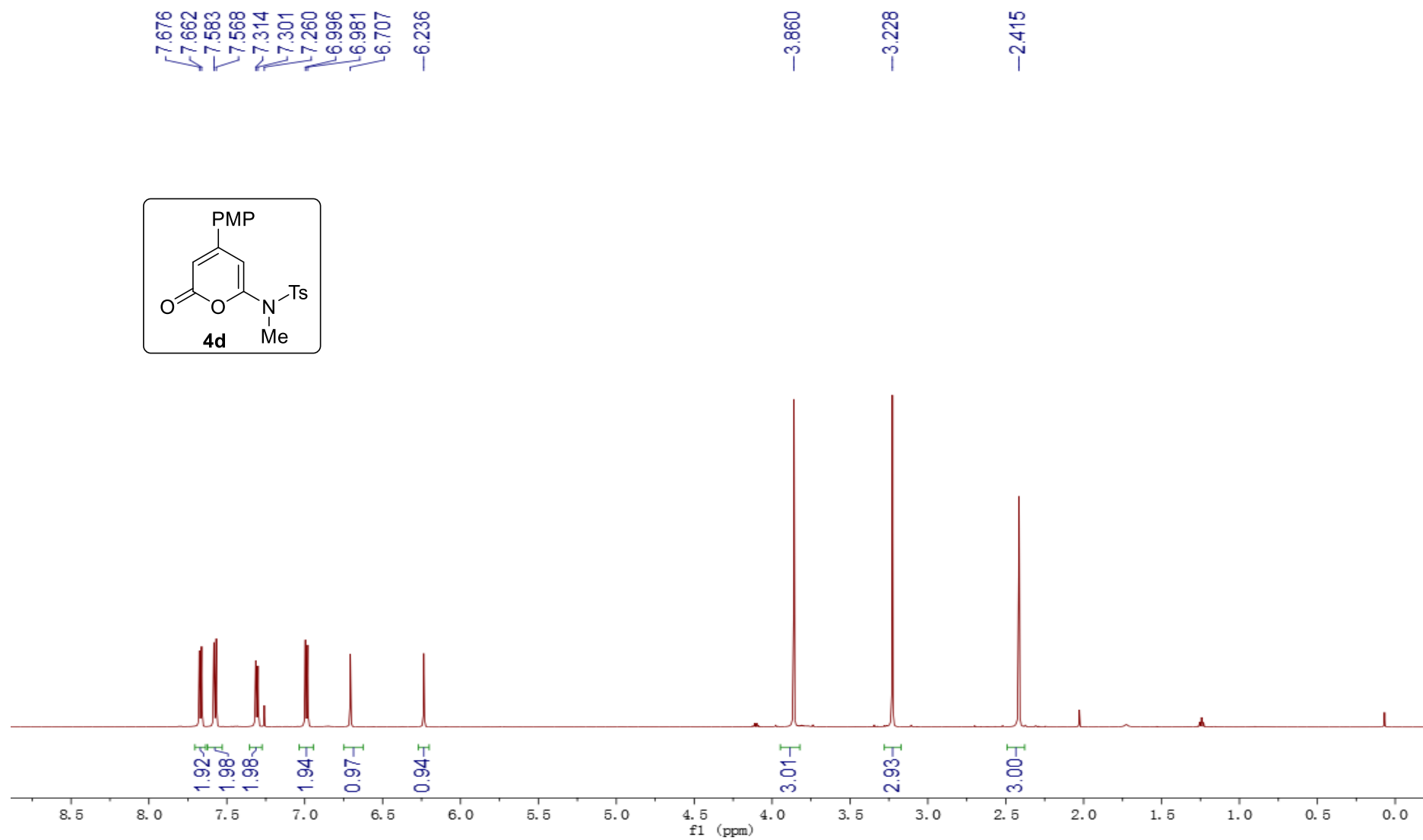
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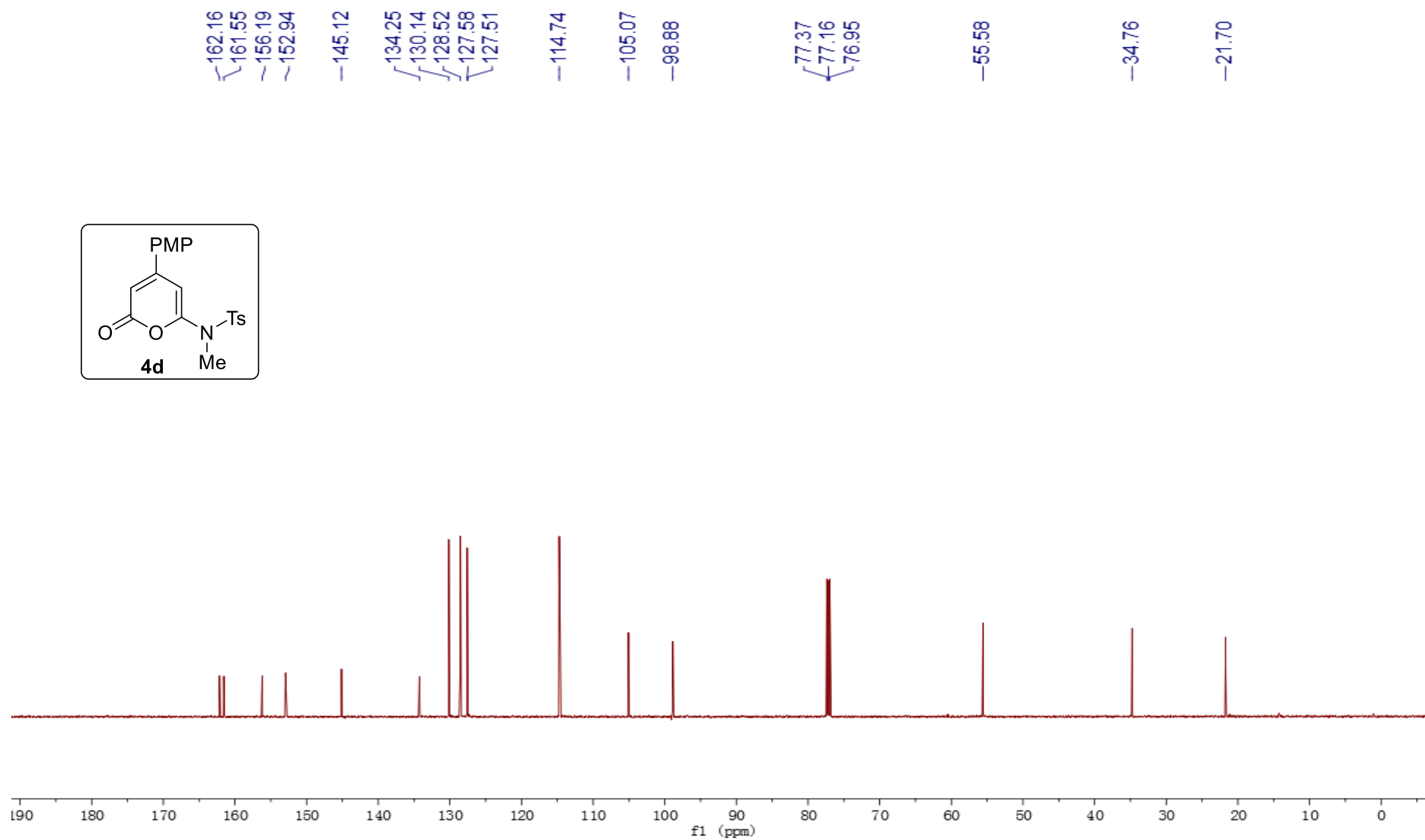
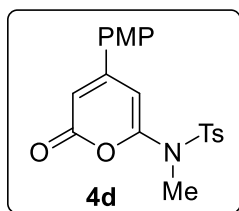


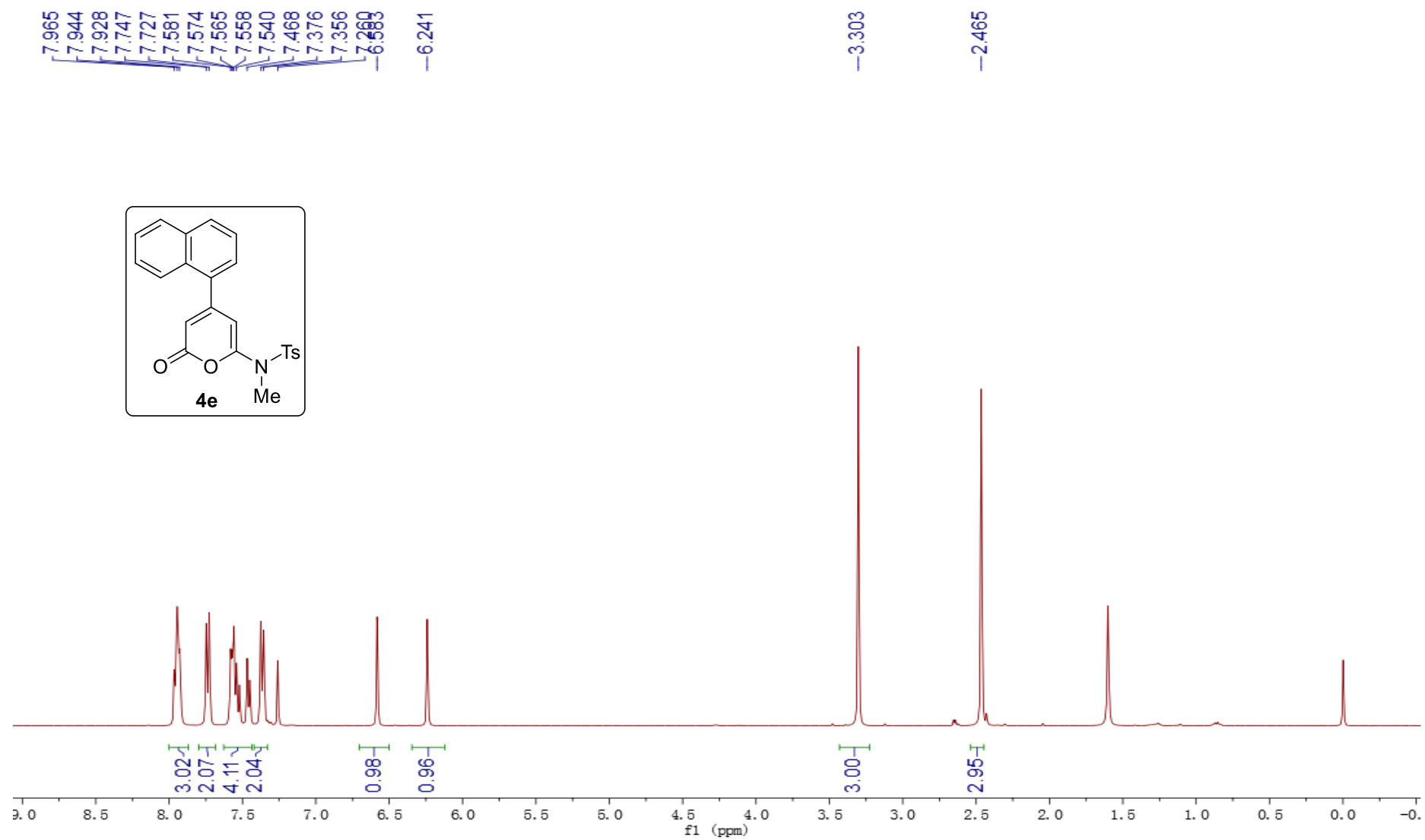


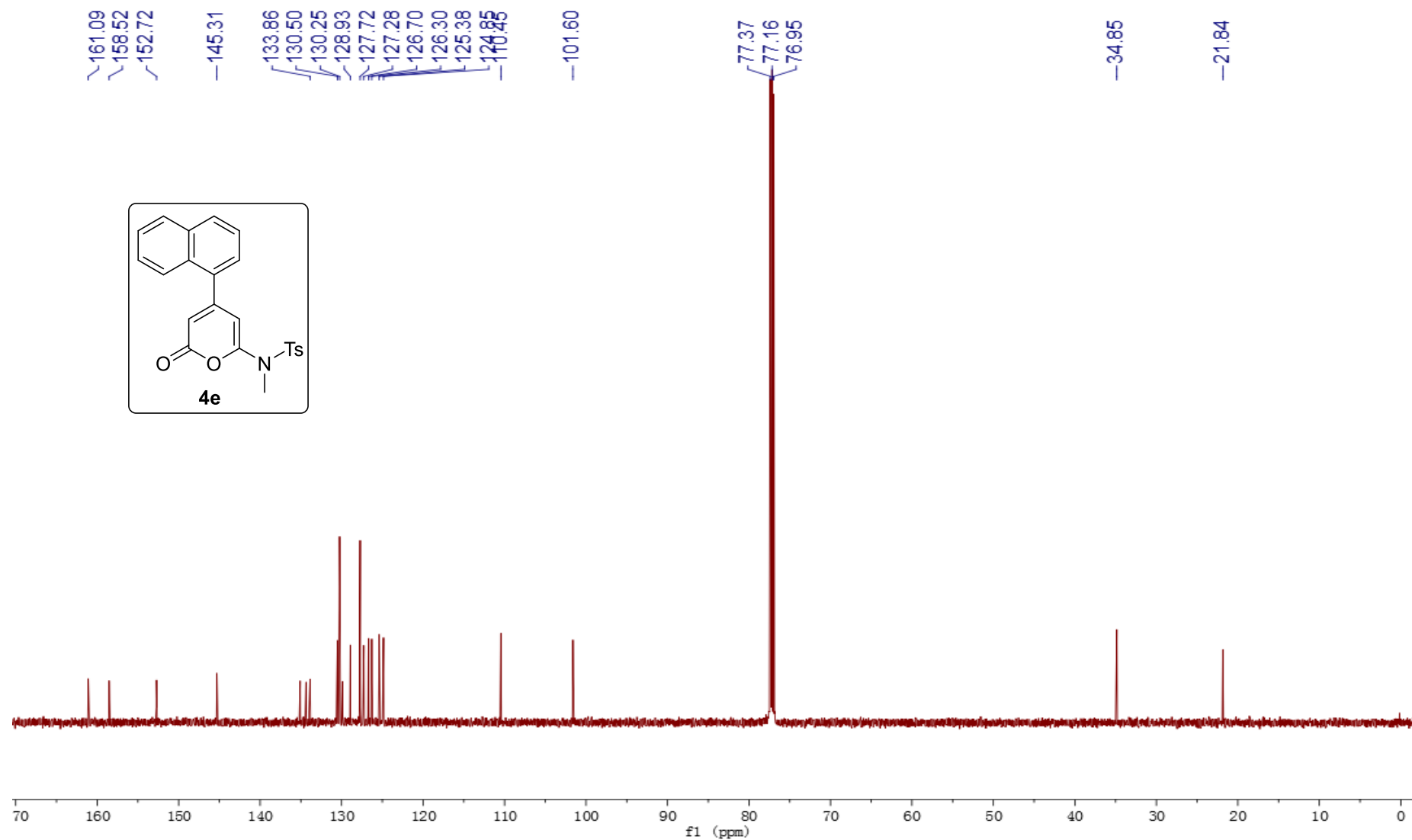
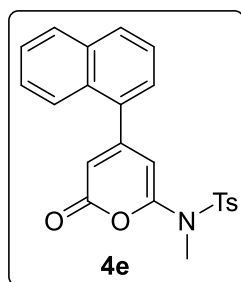


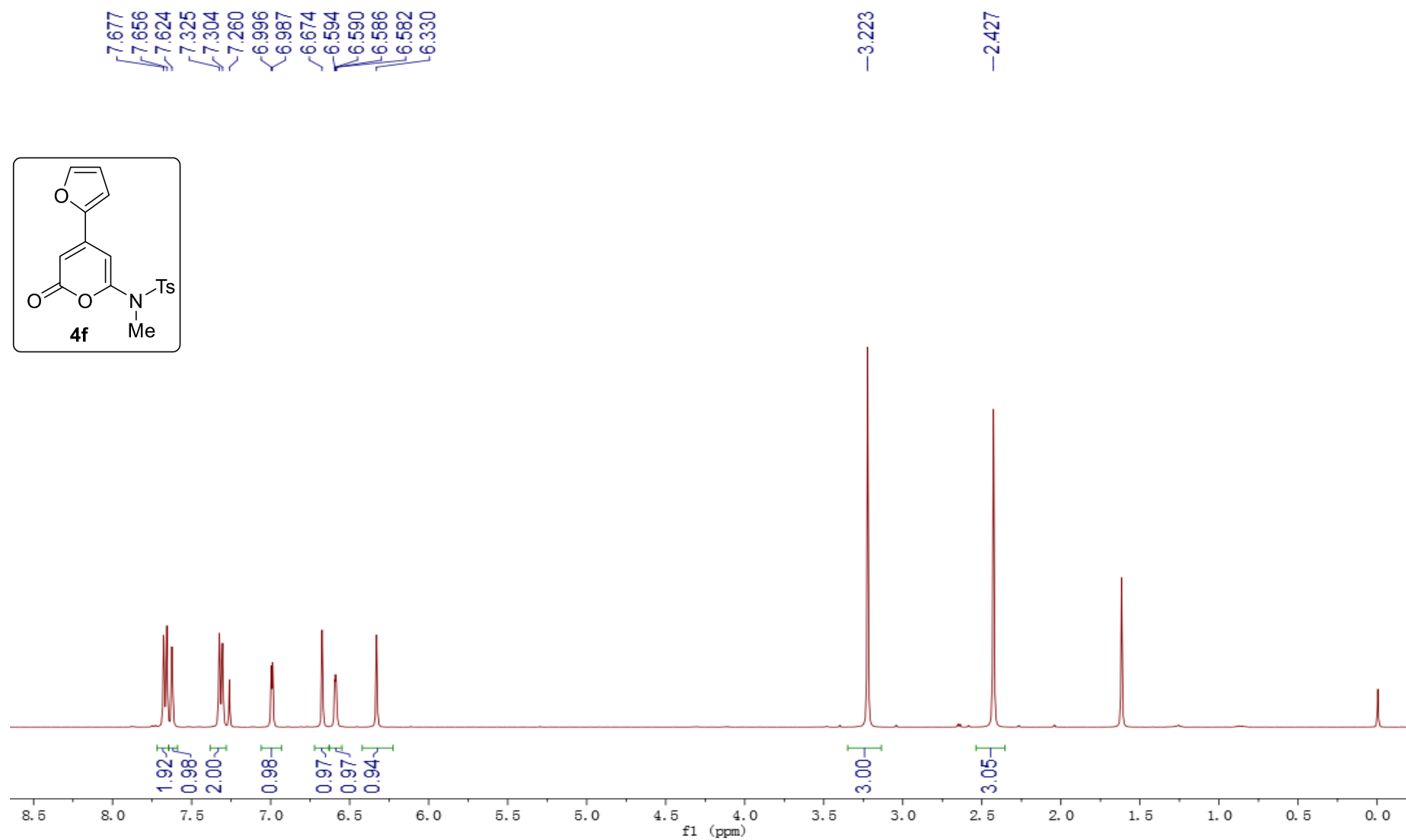
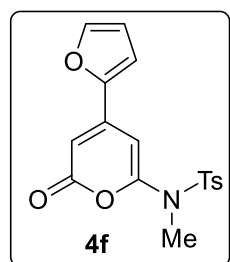


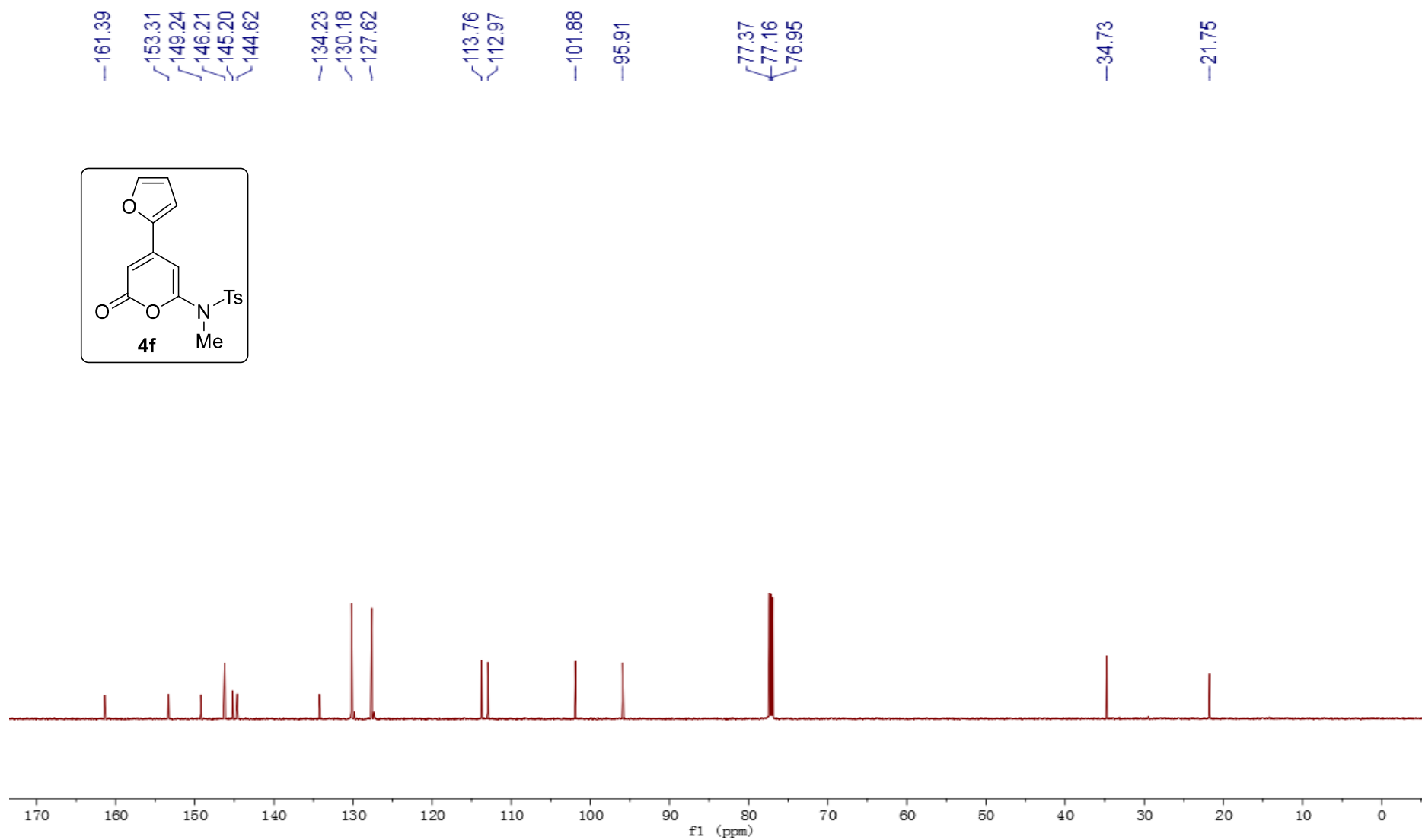
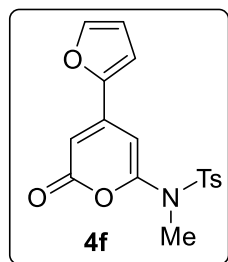


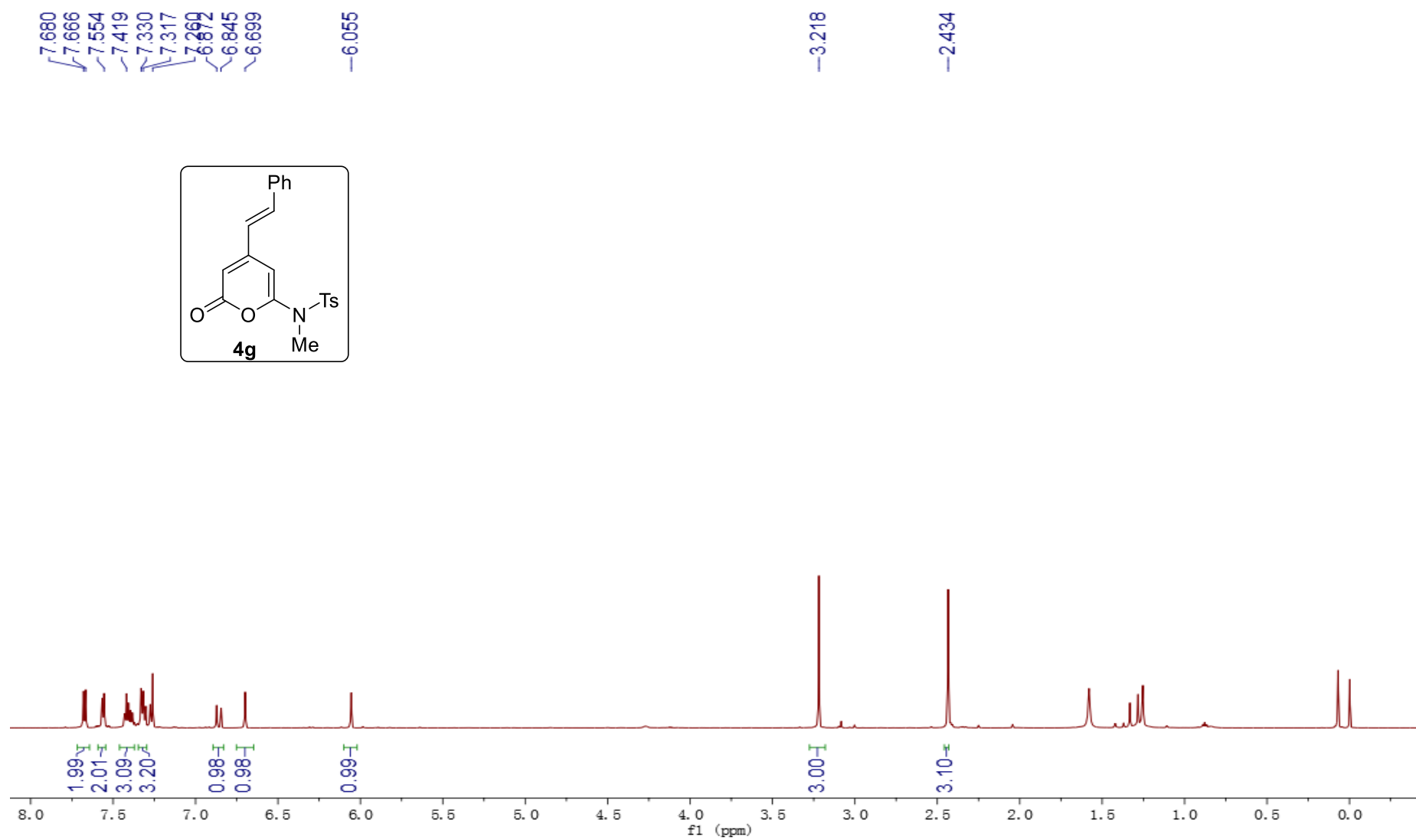


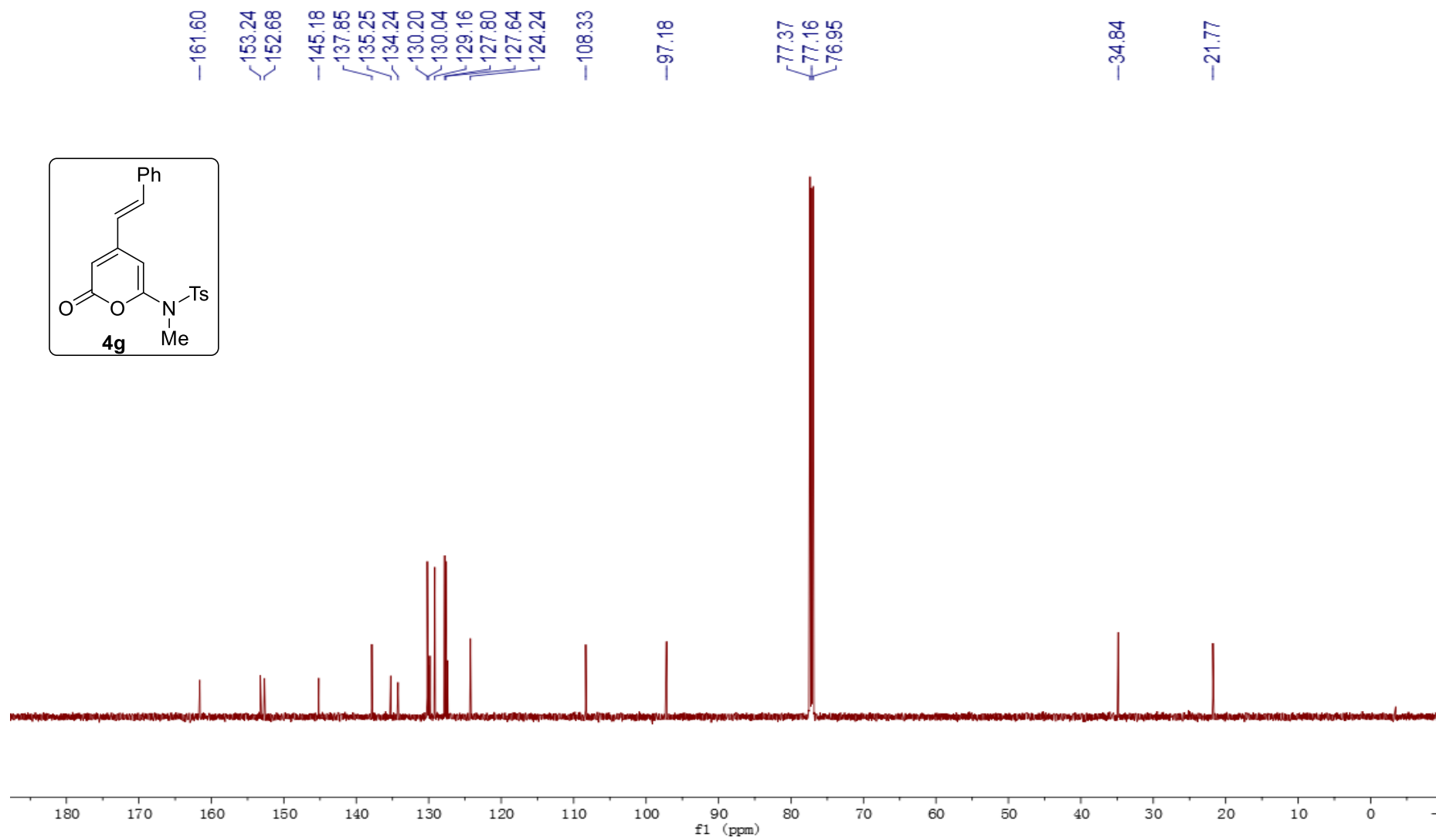
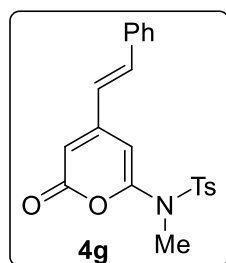


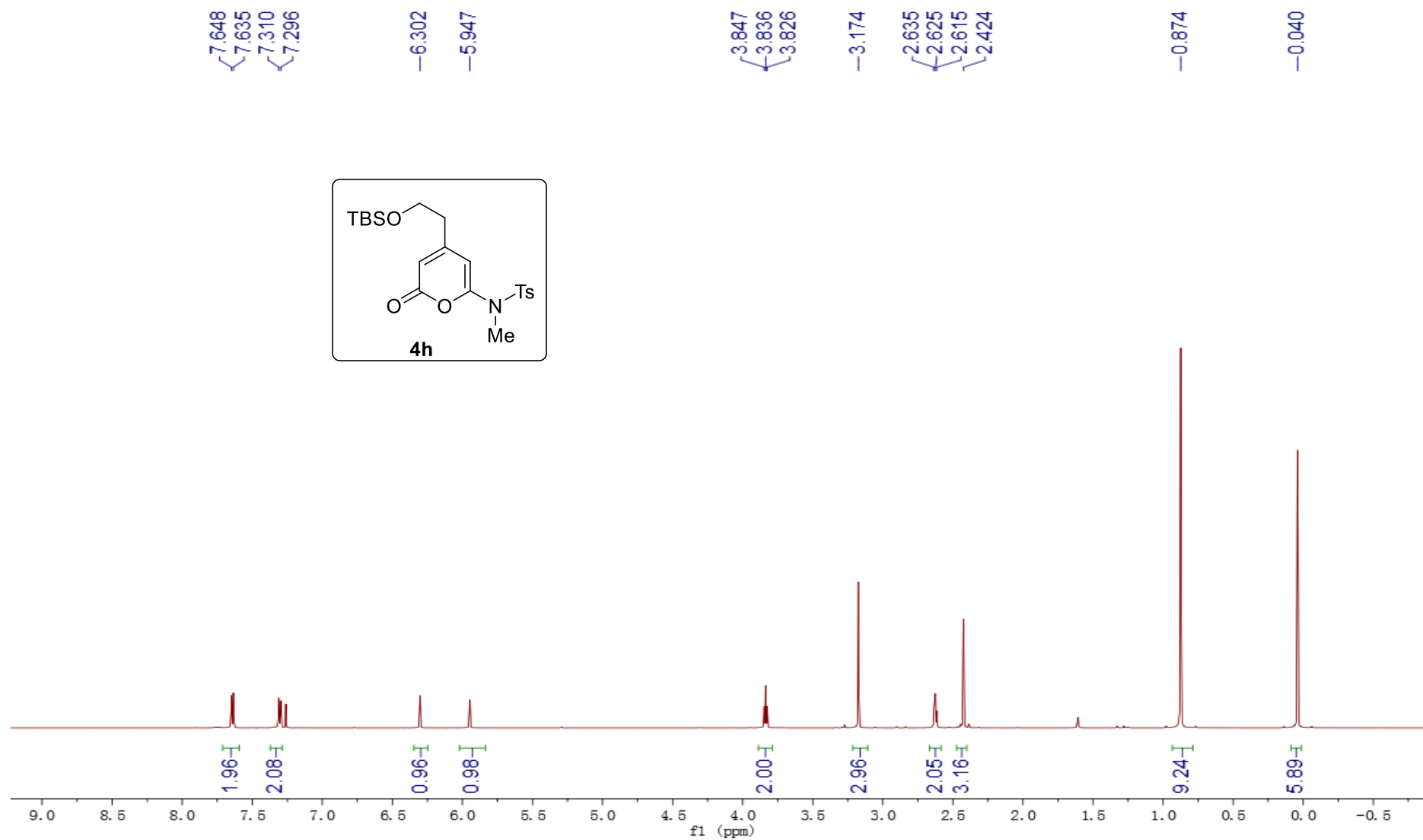












~161.08
 ~159.37
 —152.55
 —145.09
 —134.35
 ~130.13
 —127.63

 —110.02
 —101.54

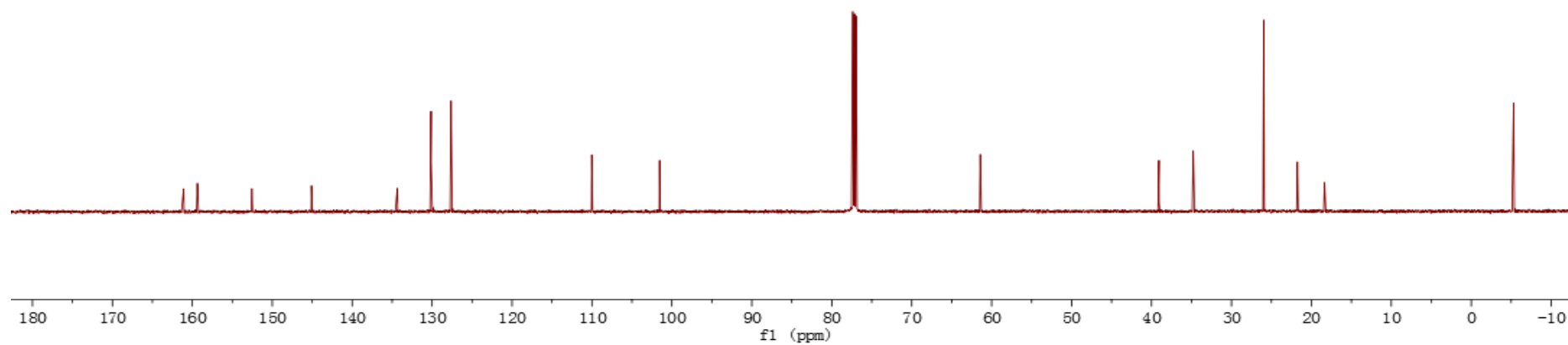
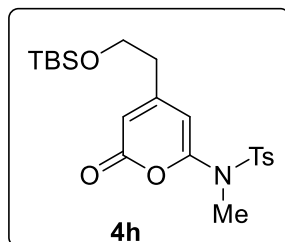
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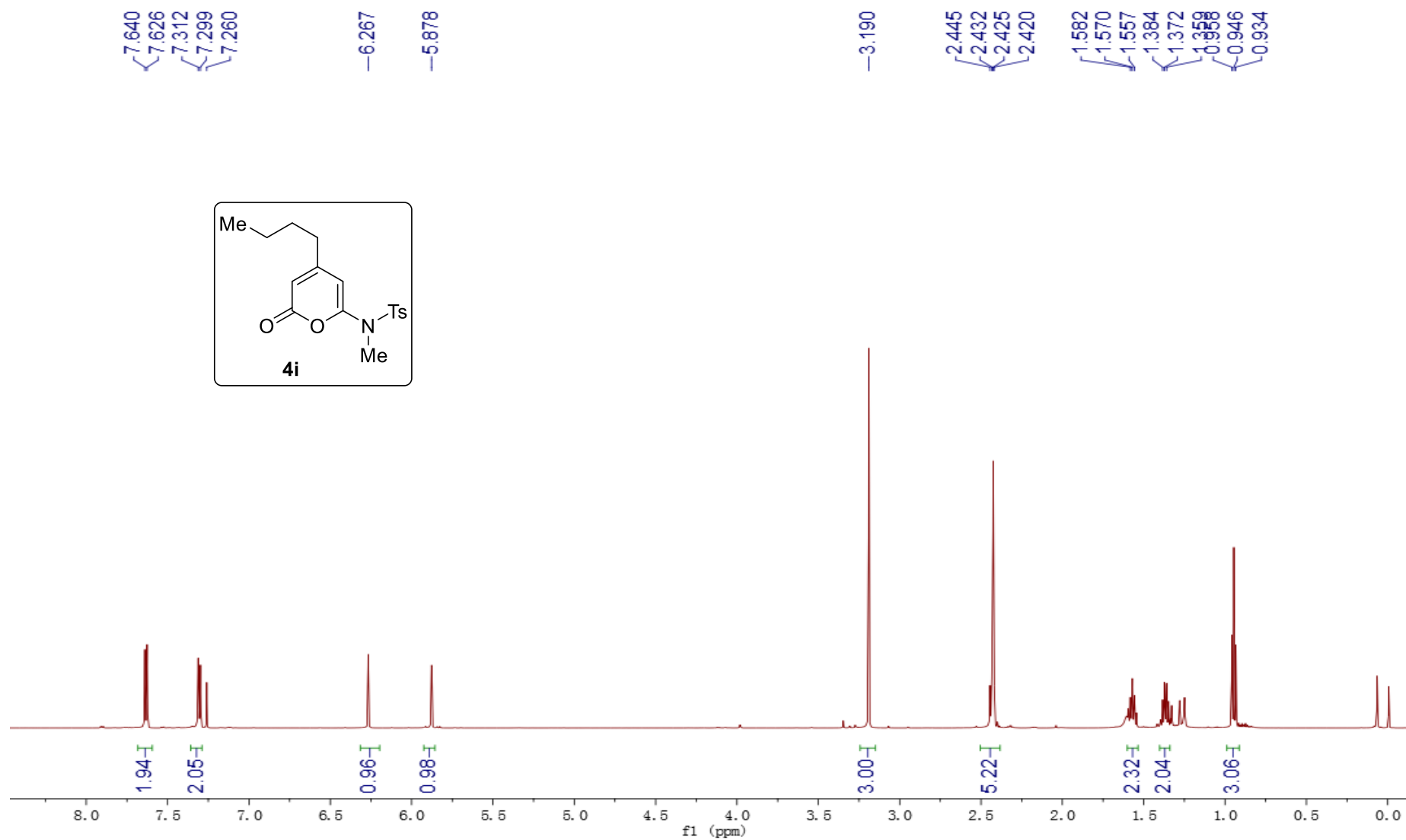
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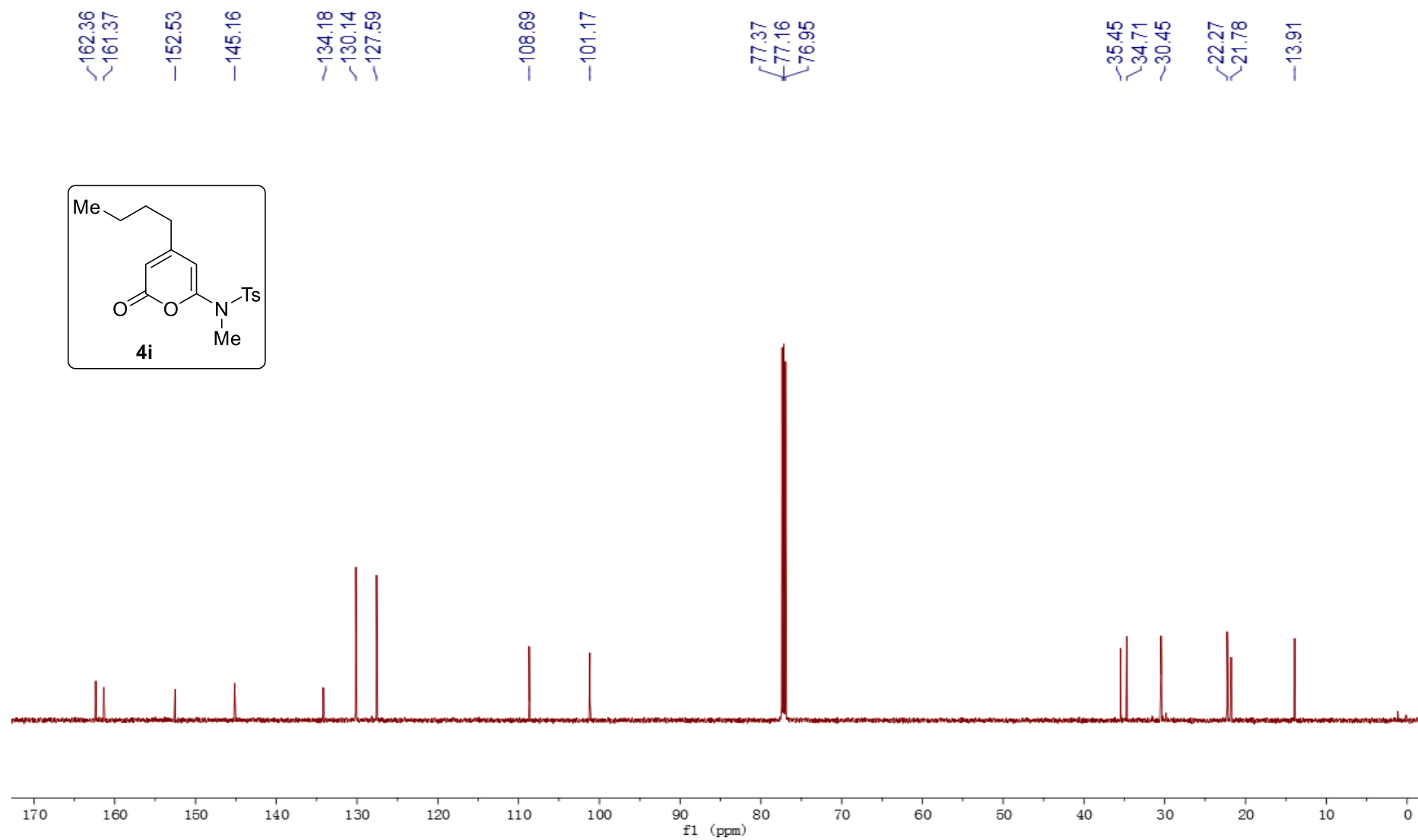
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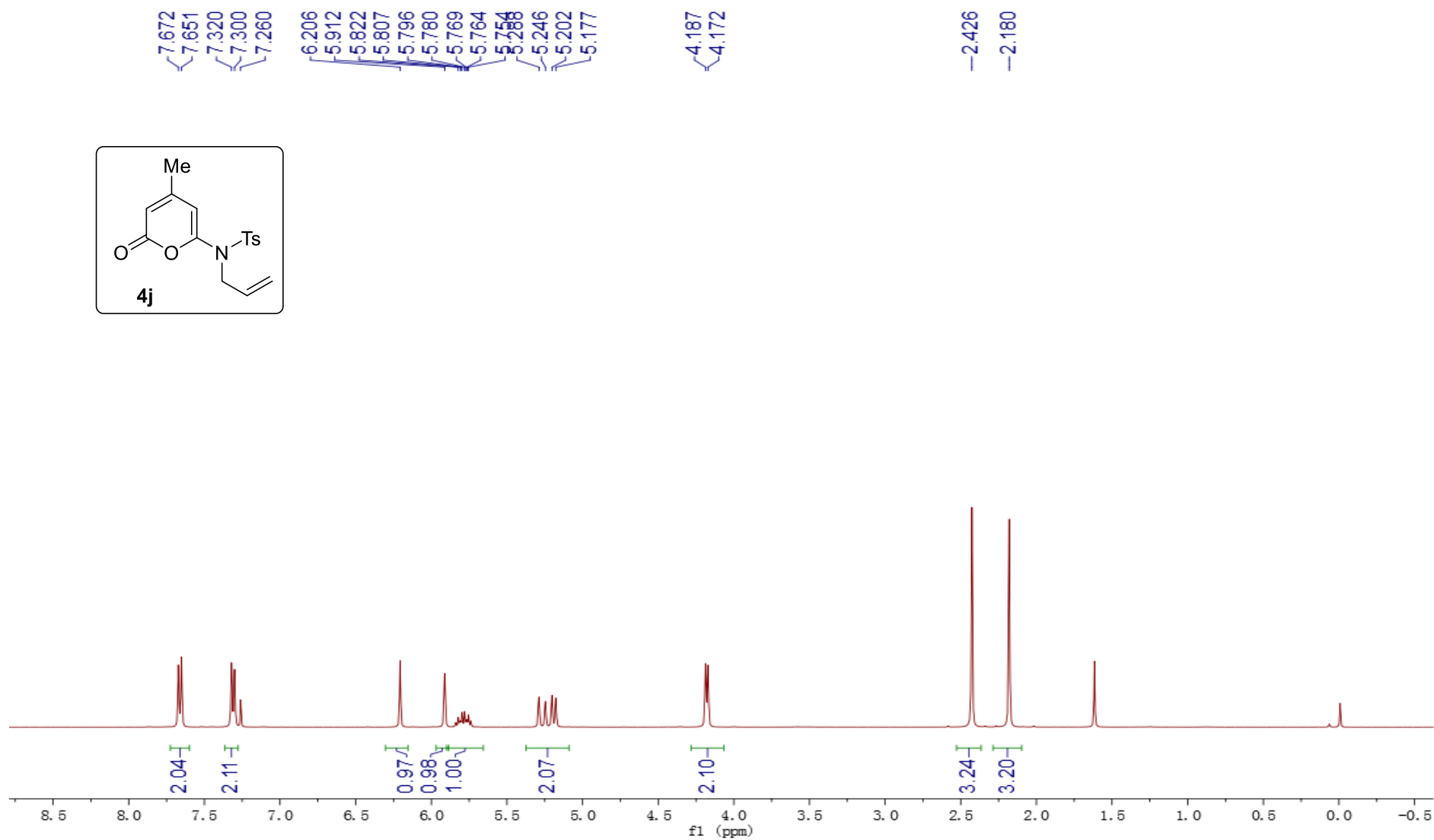
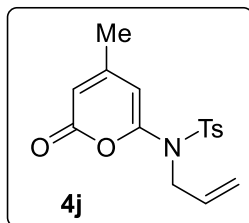
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 ~21.75
 ~18.35

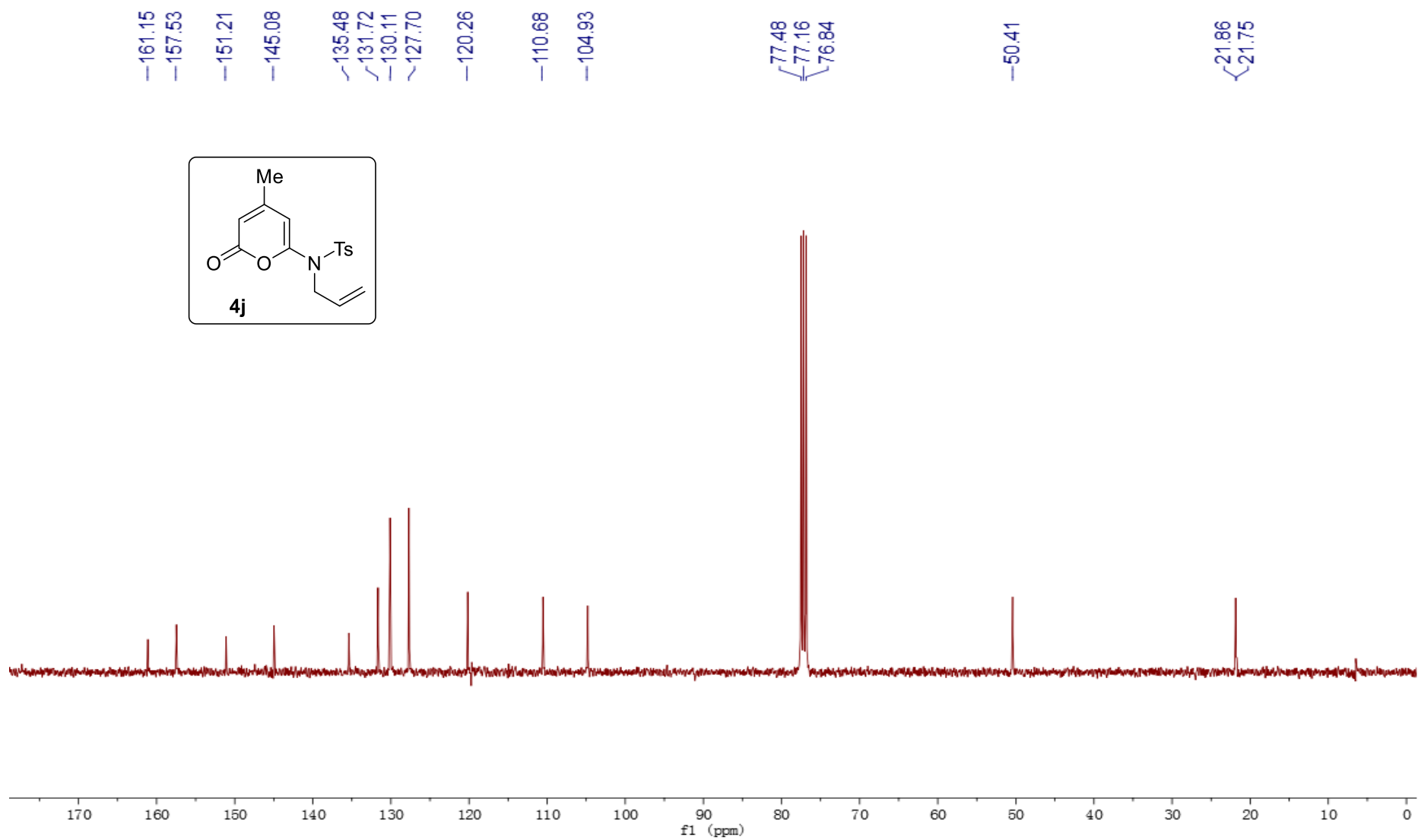
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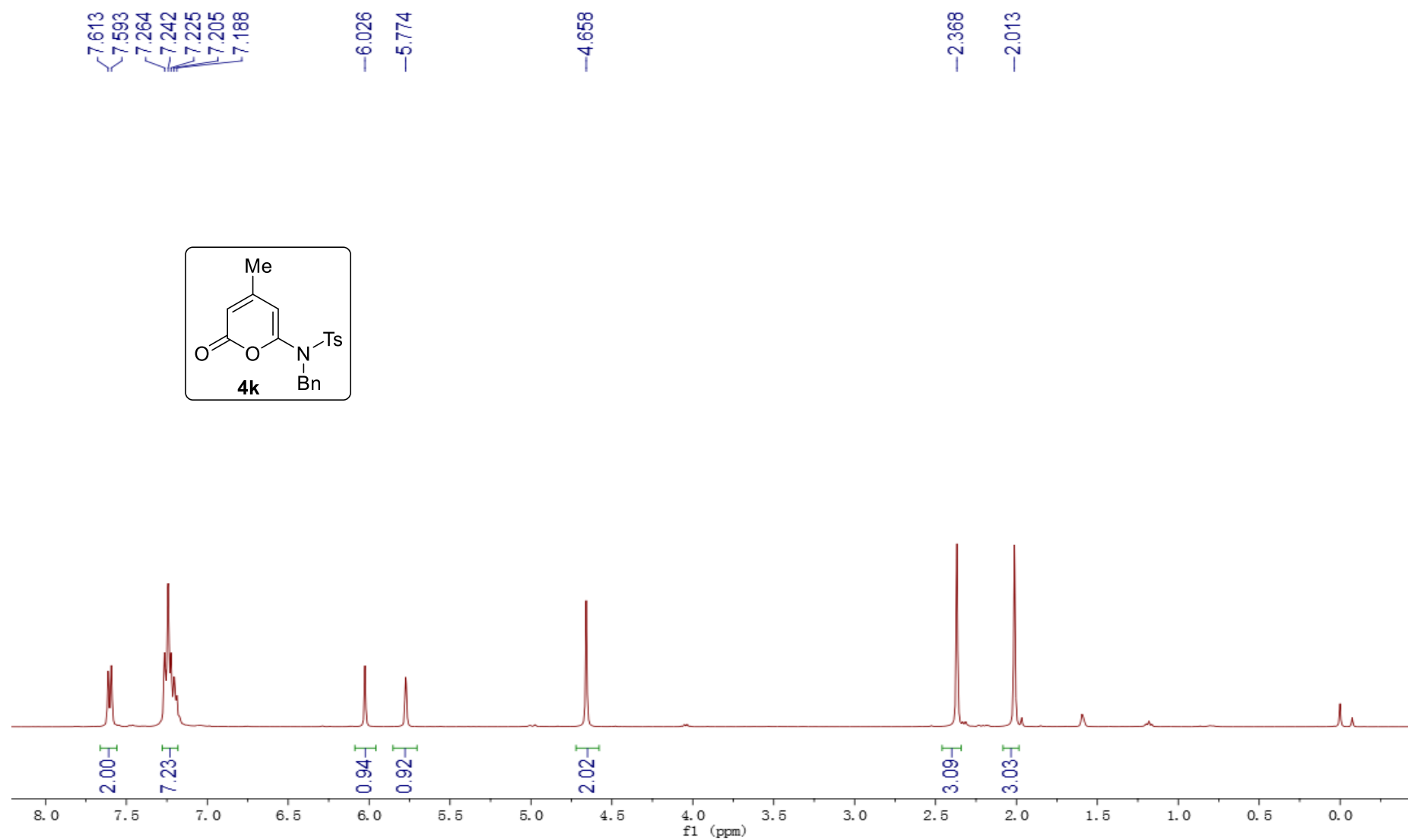


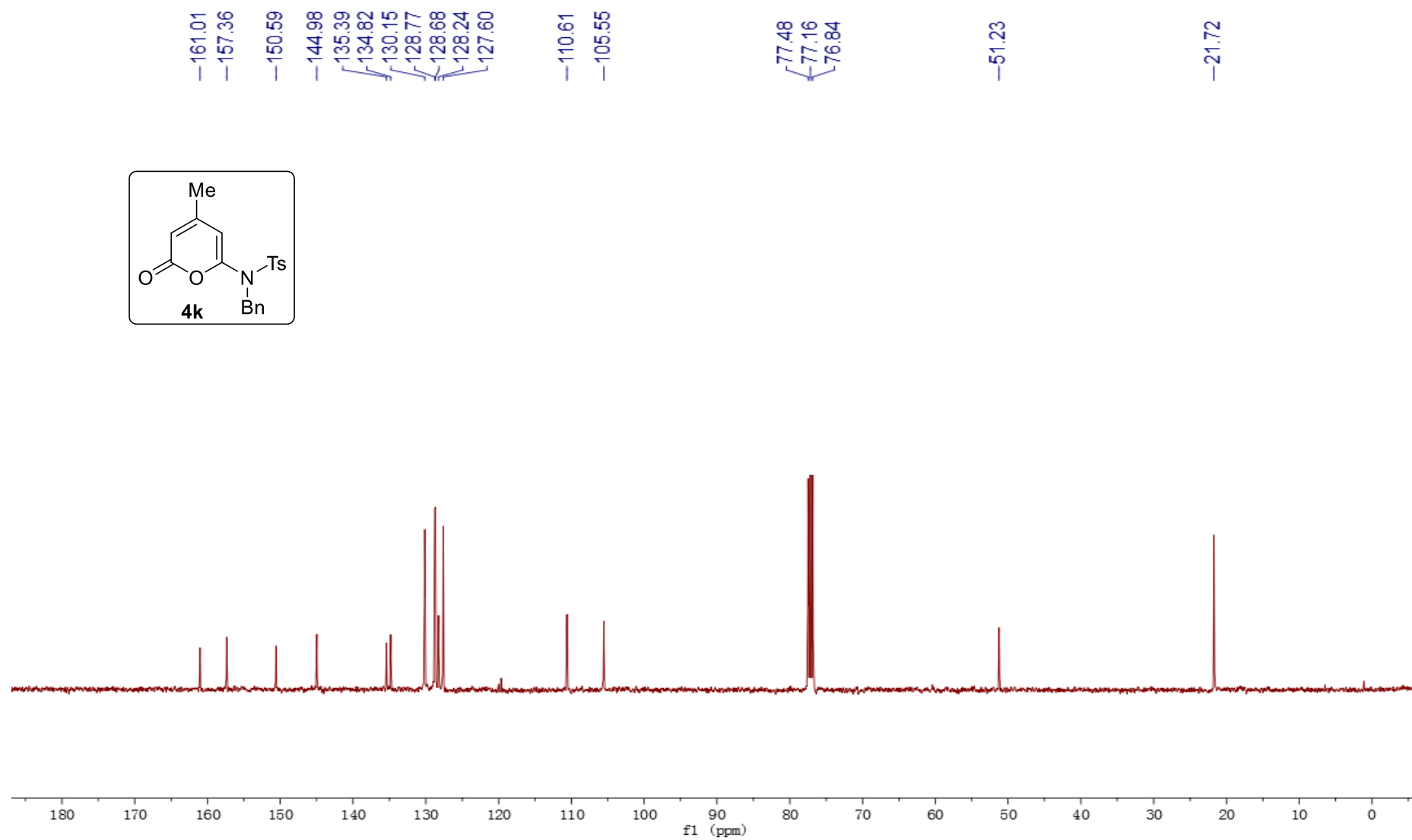
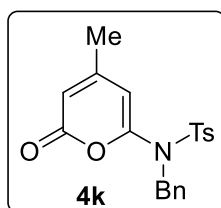


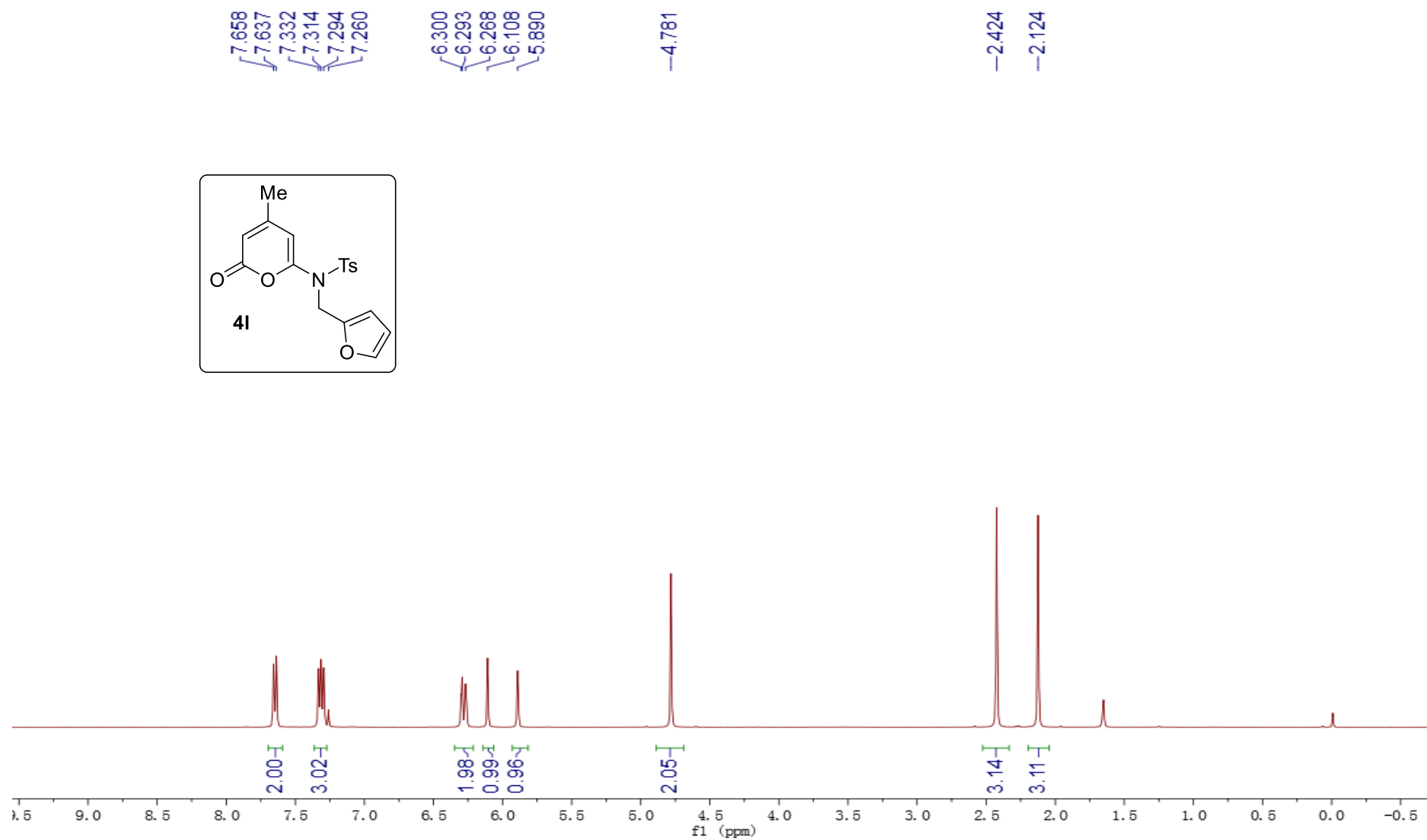












161.14

157.56

151.05

148.47

144.84

143.04

135.54

130.10

127.68

110.65

110.55

110.47

104.33

77.48

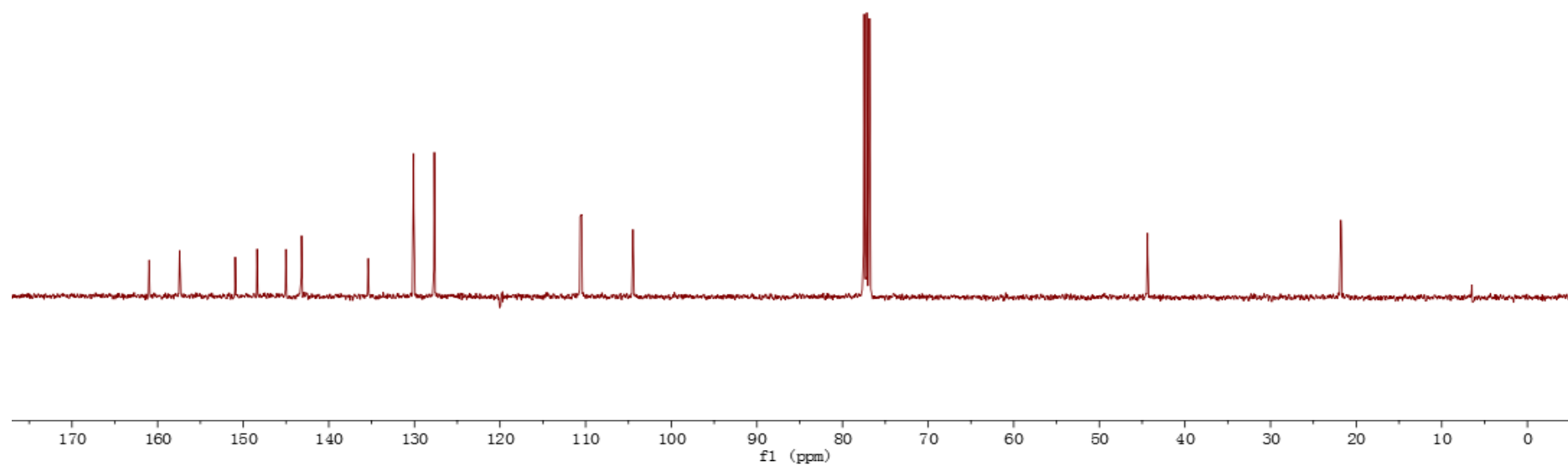
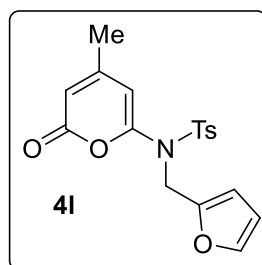
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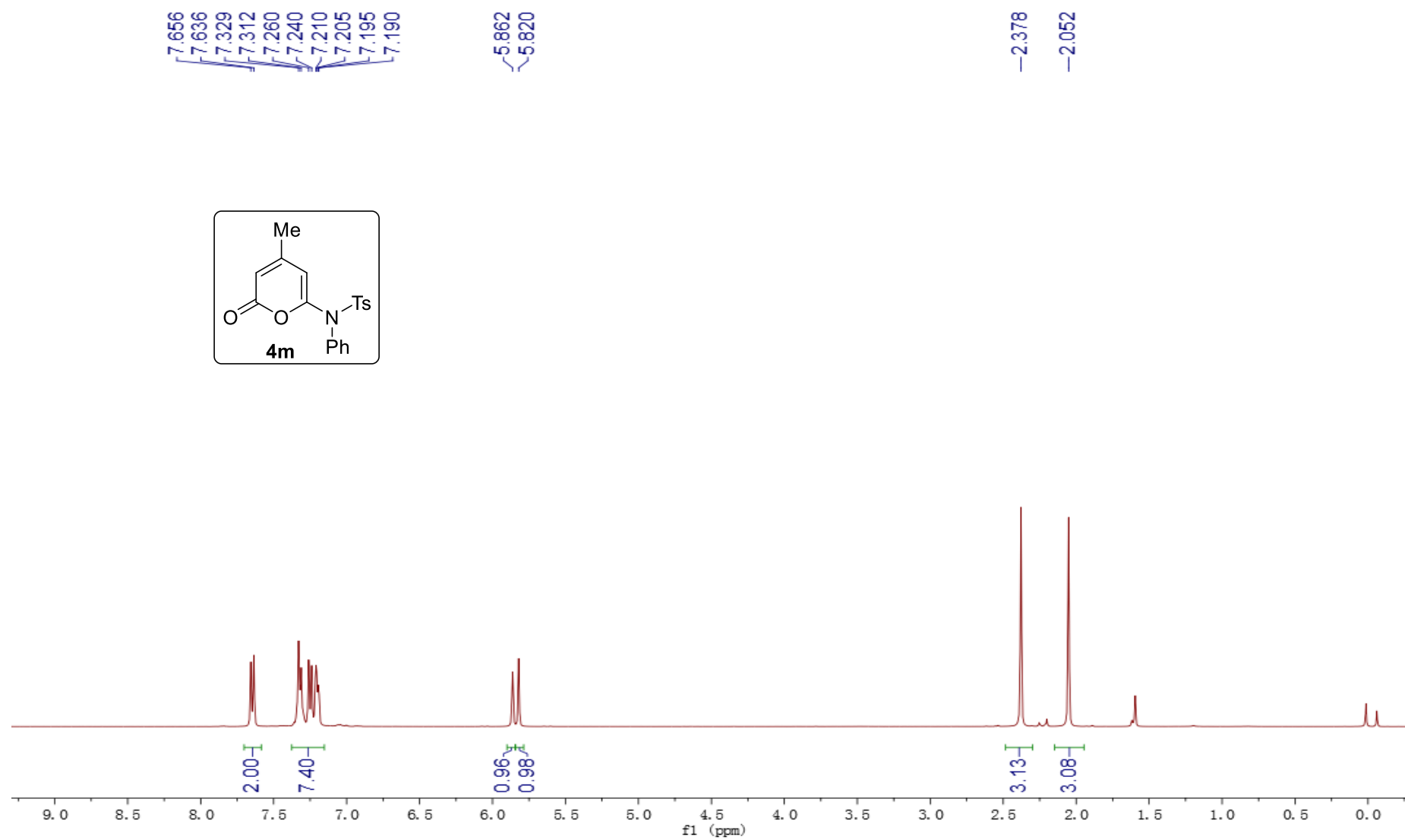
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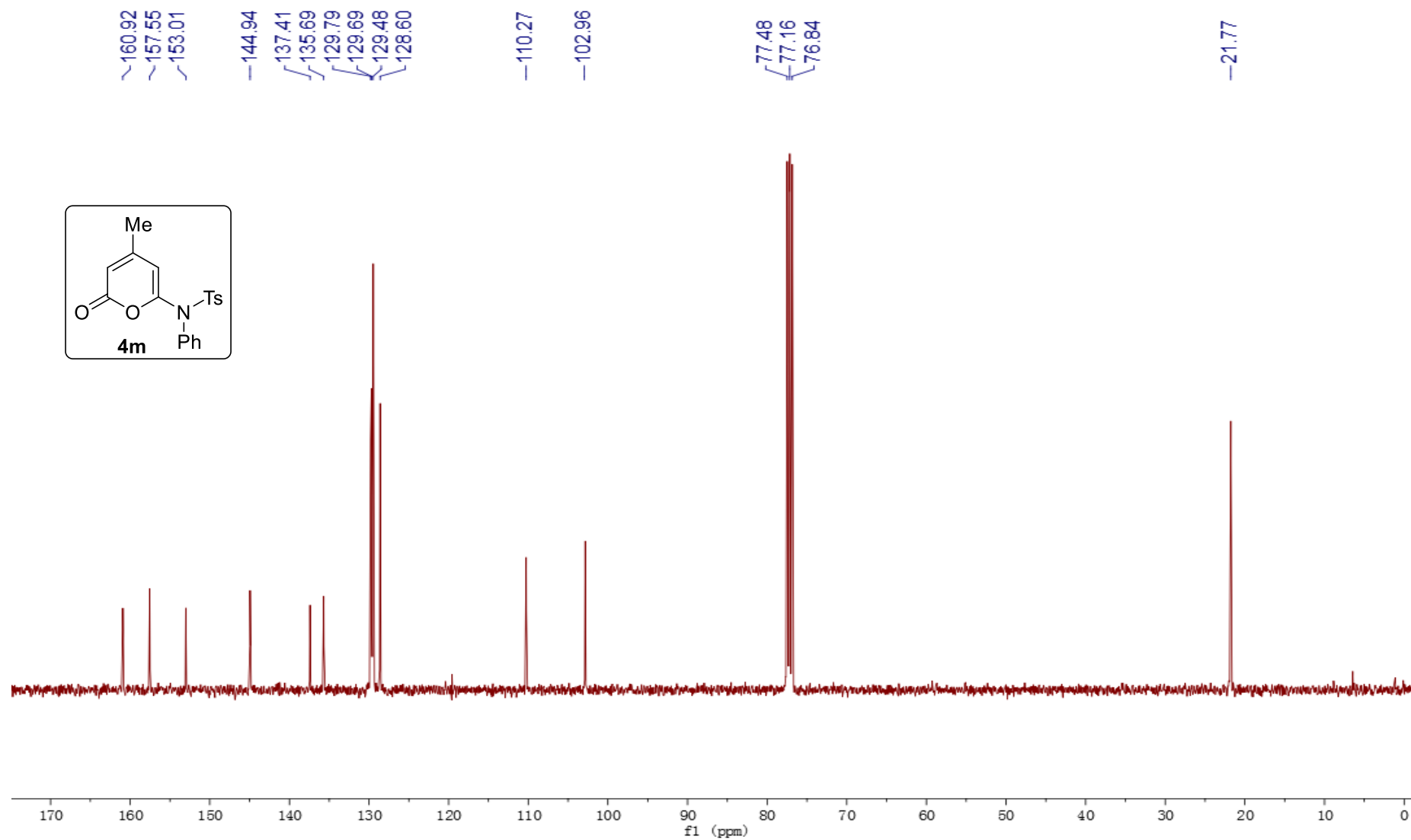
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21.79

21.73





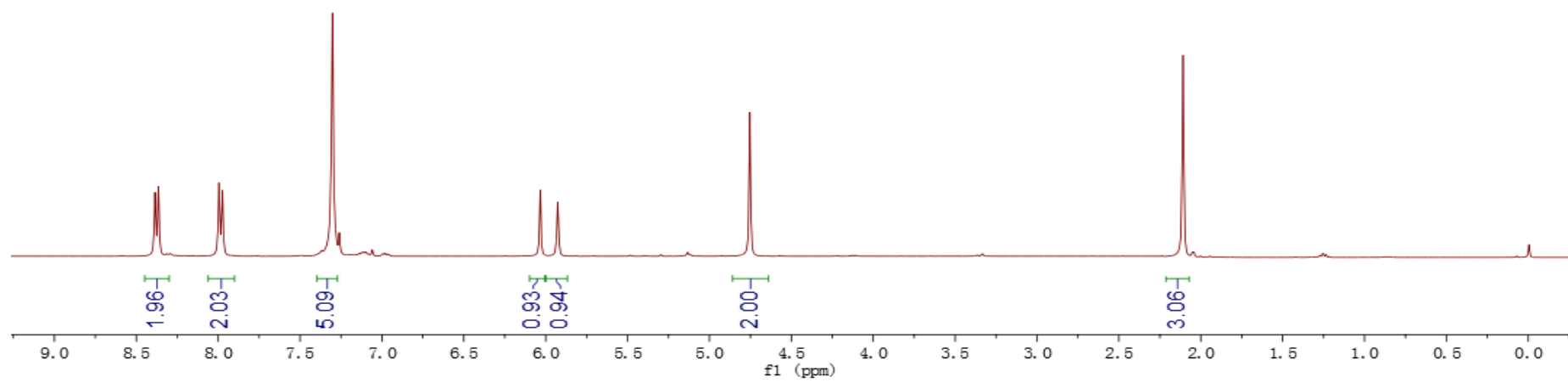
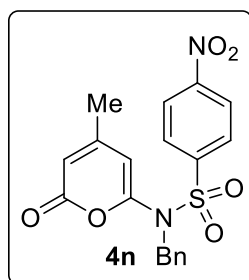


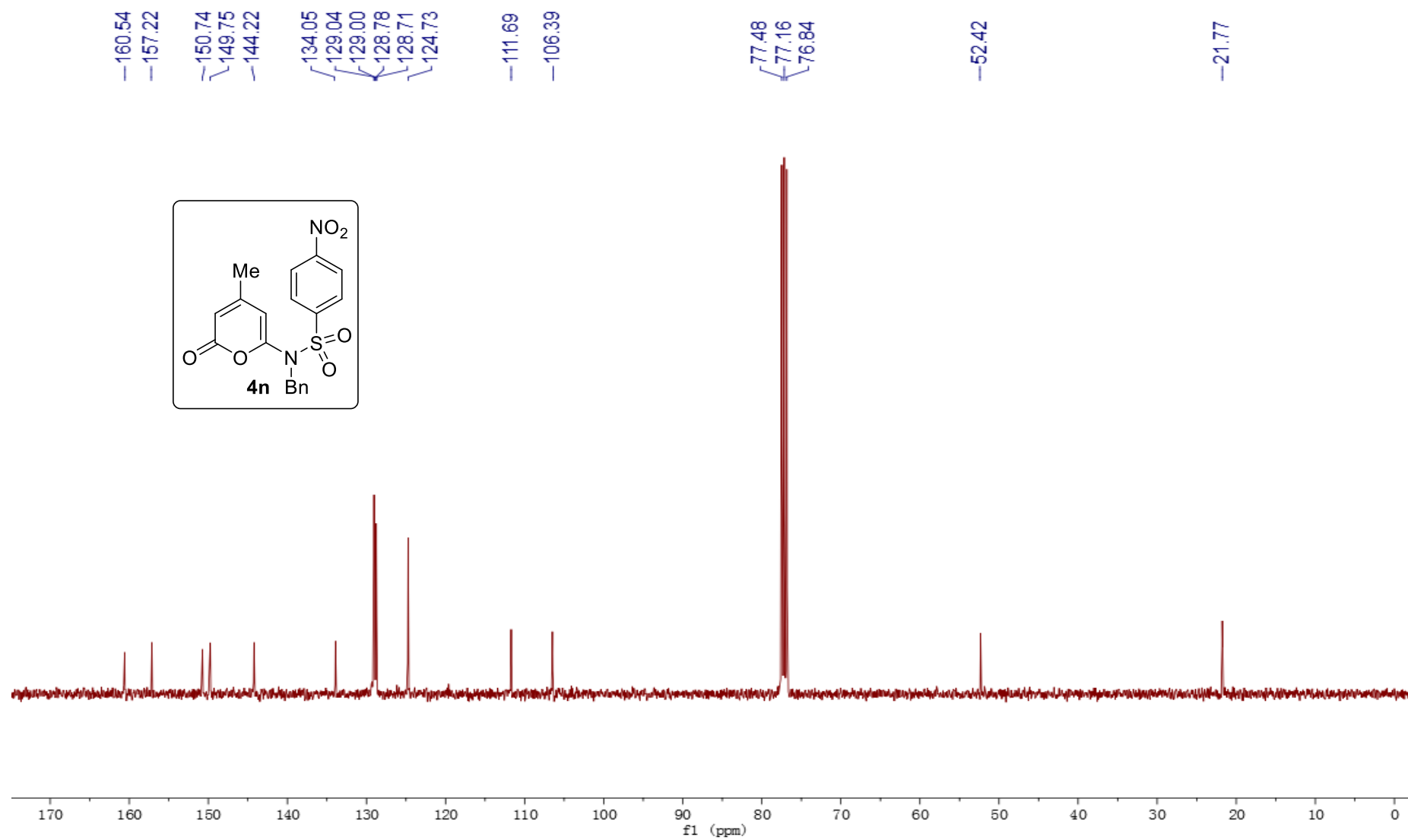
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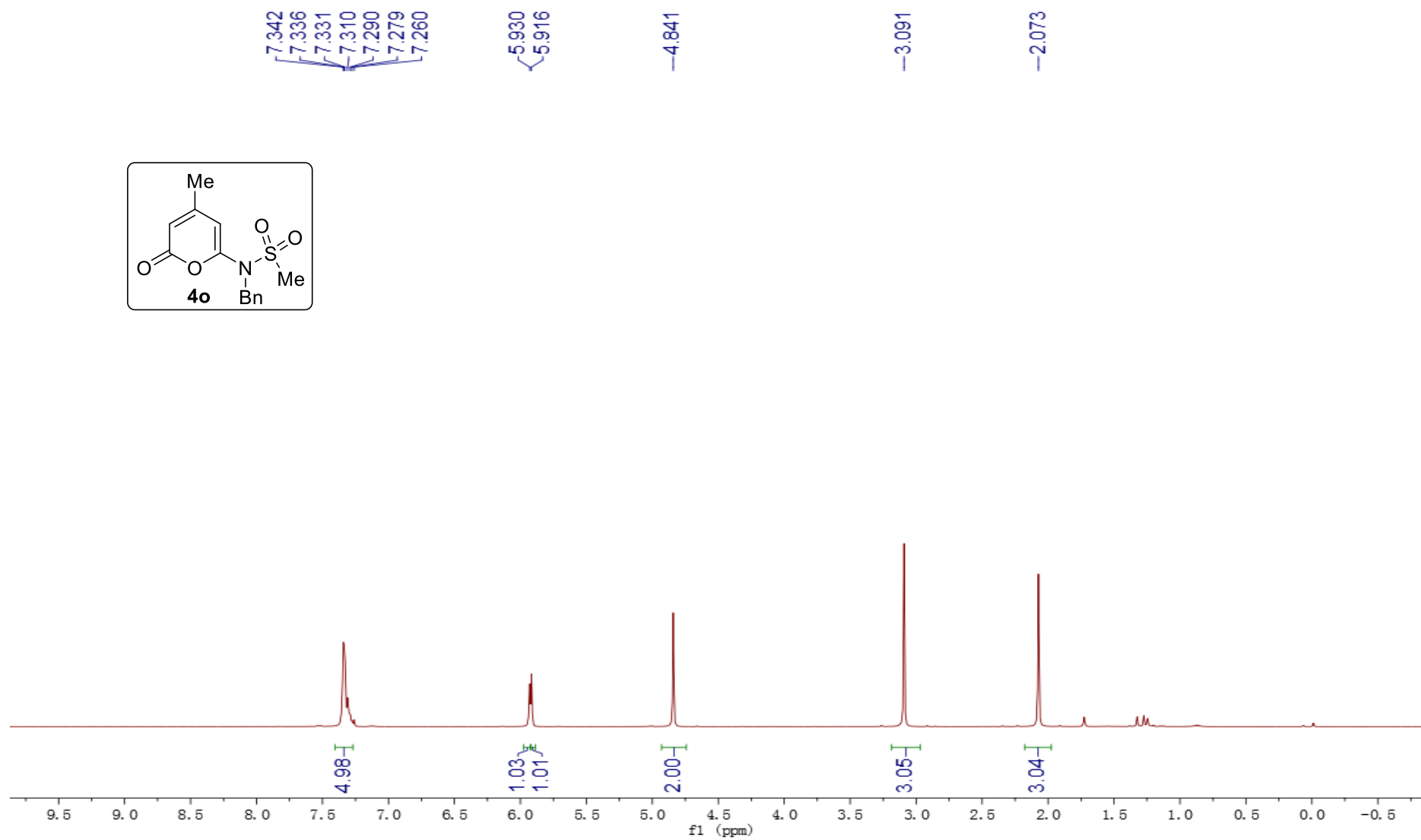
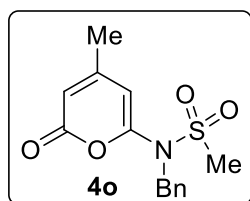
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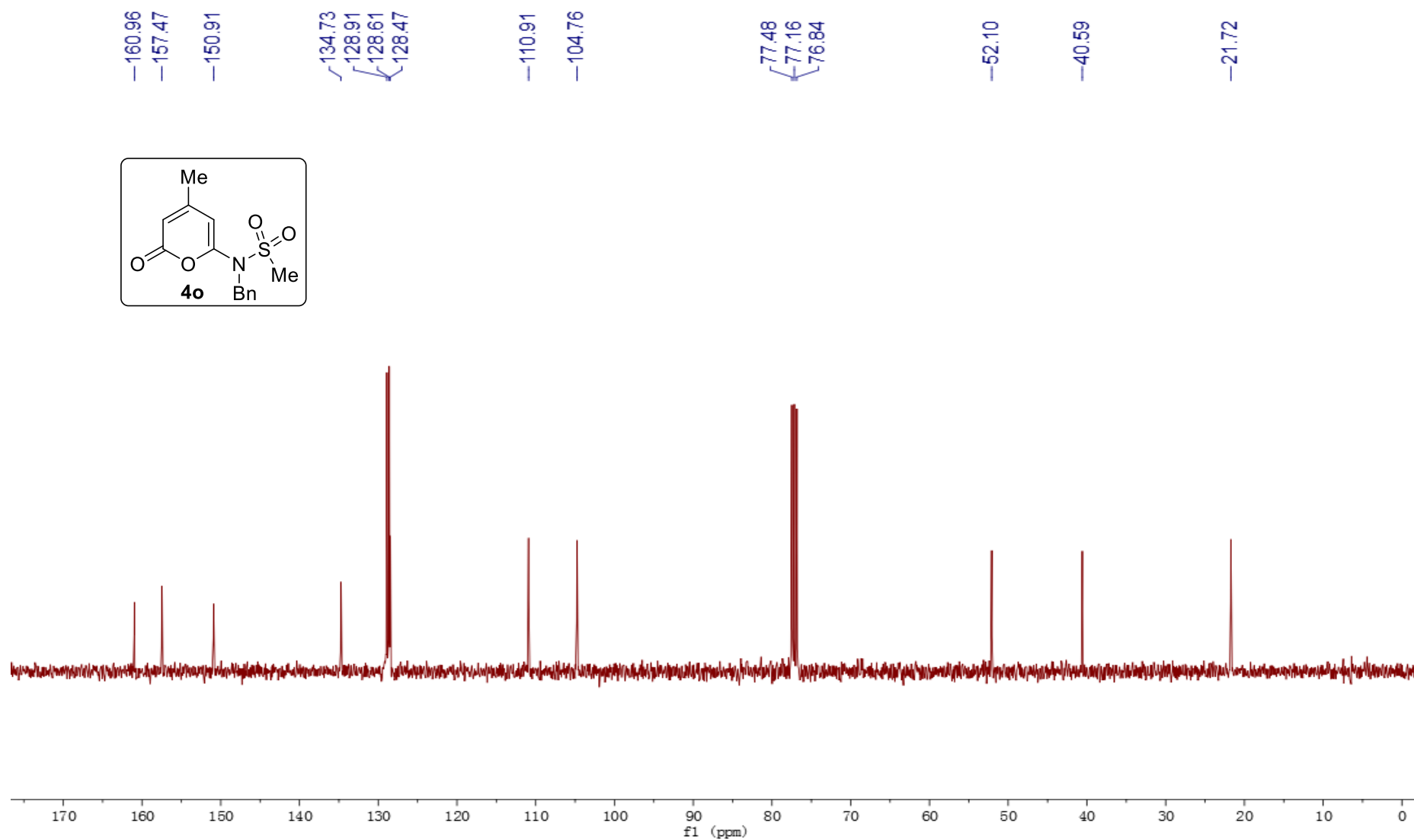
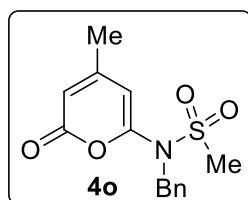
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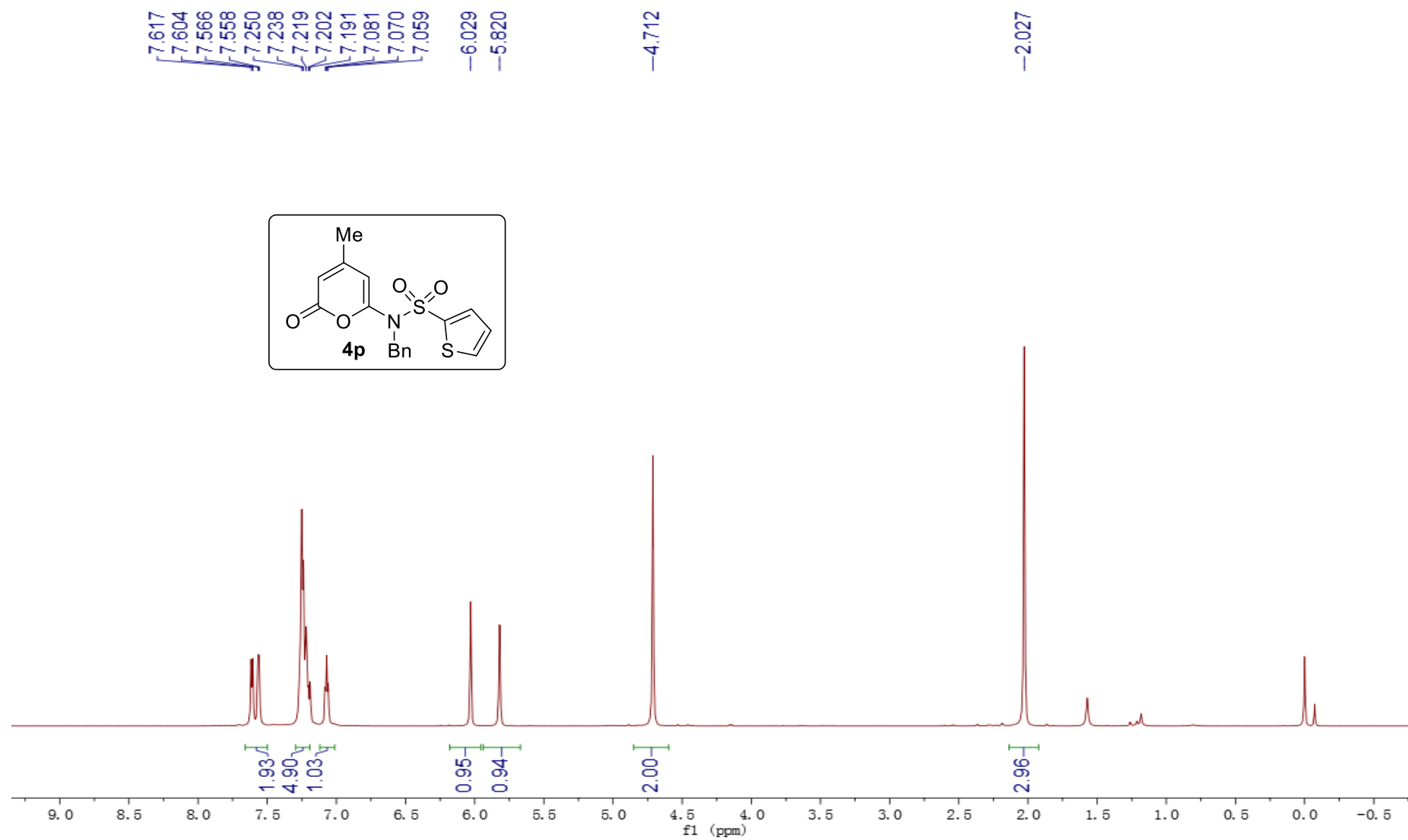
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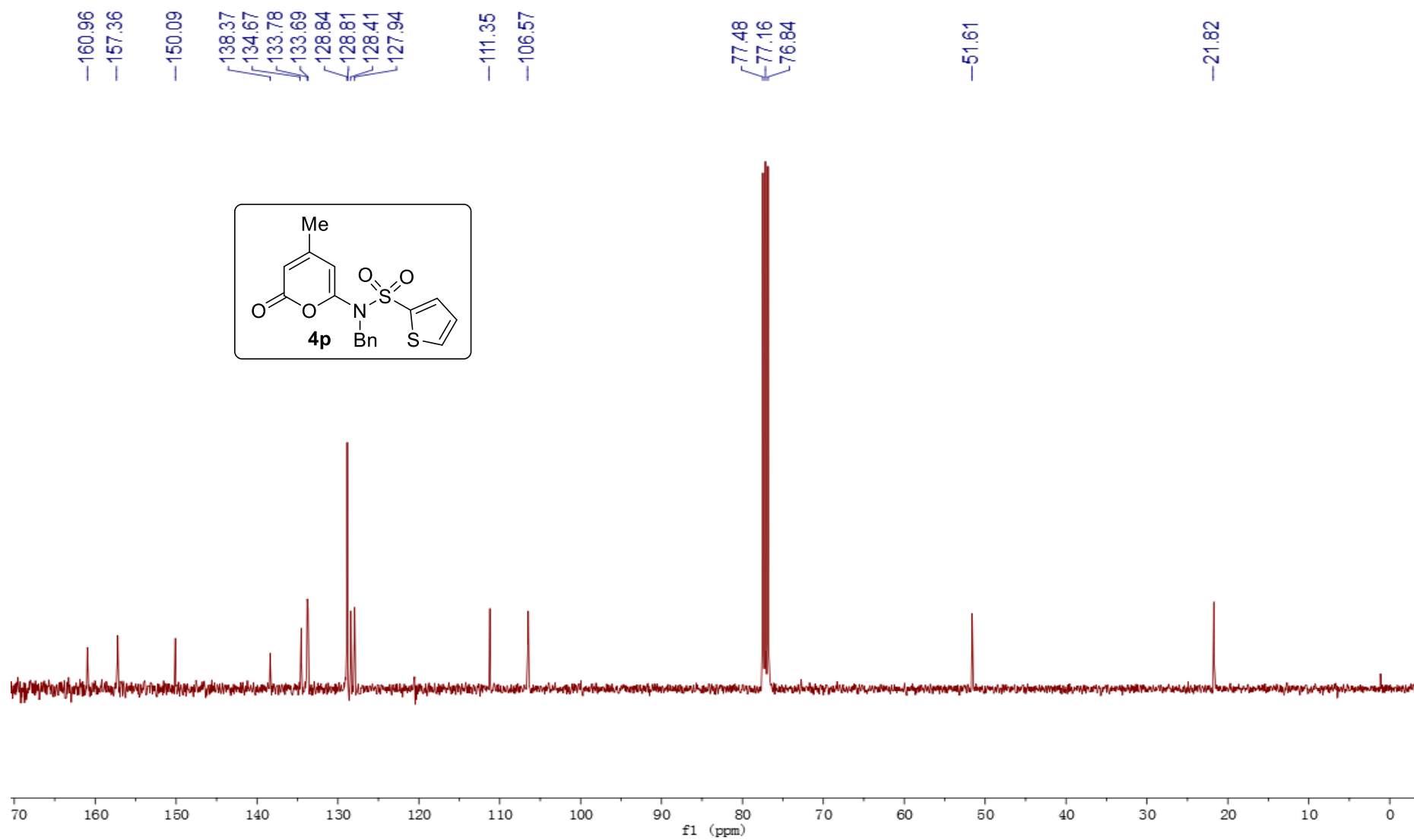


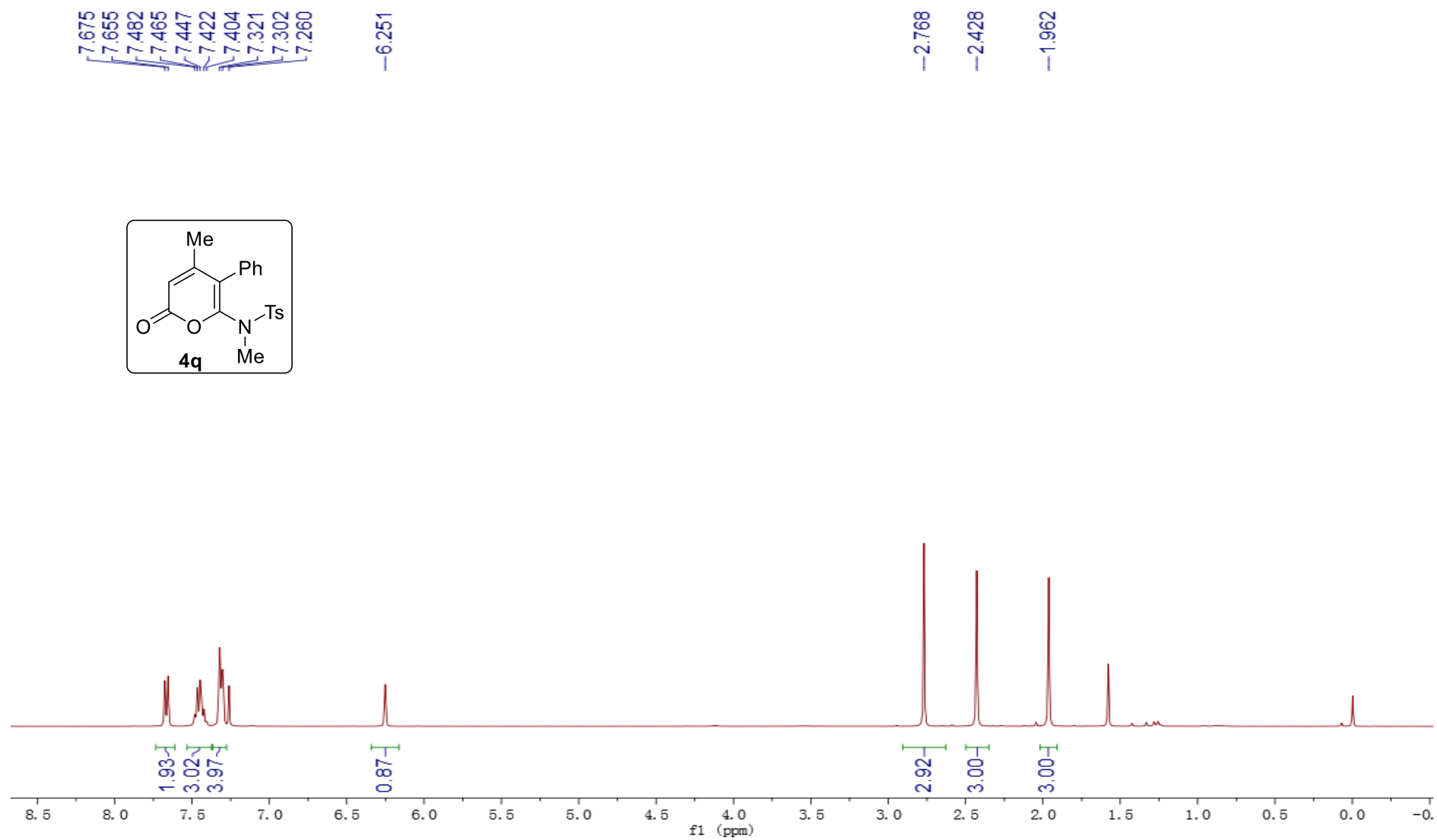


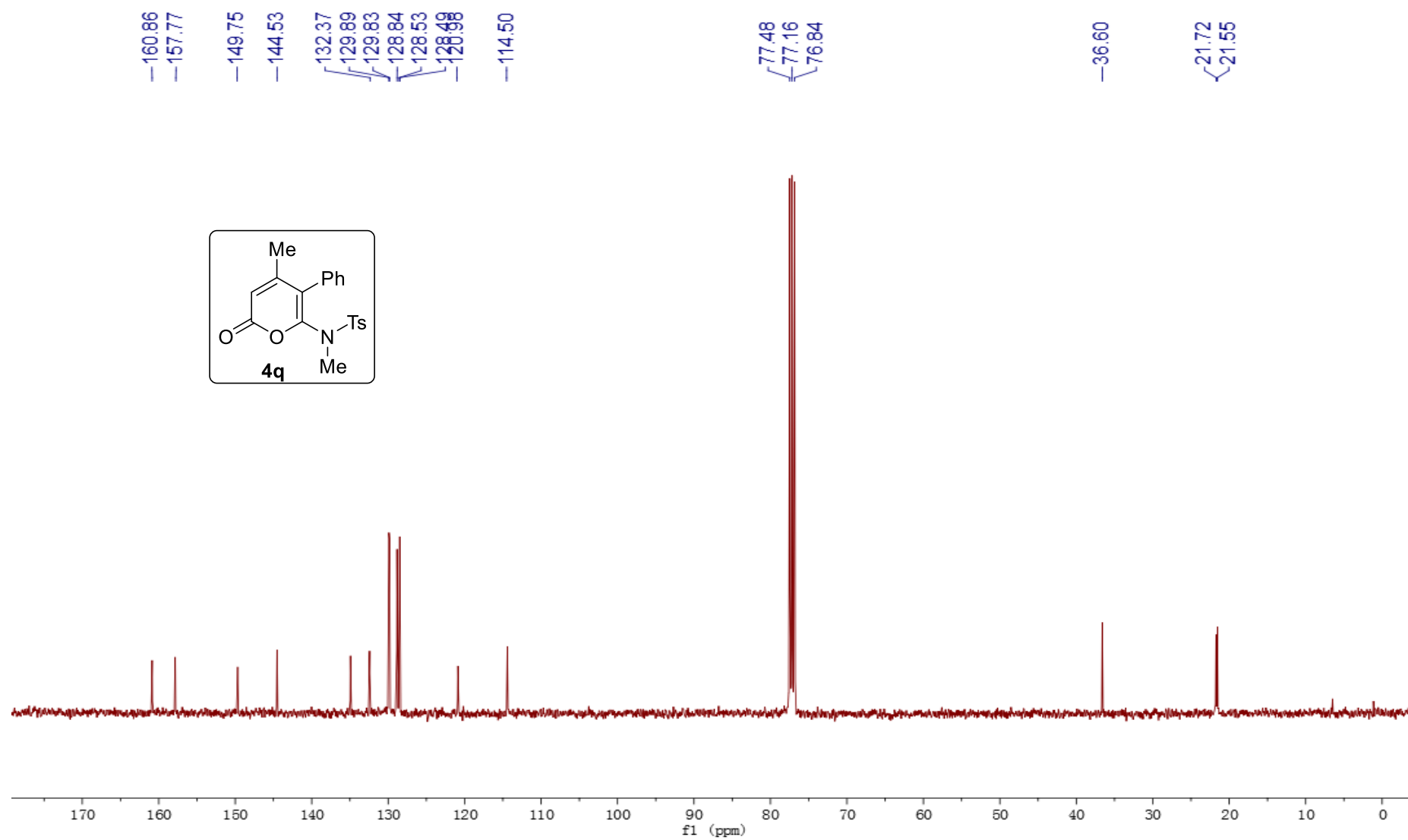


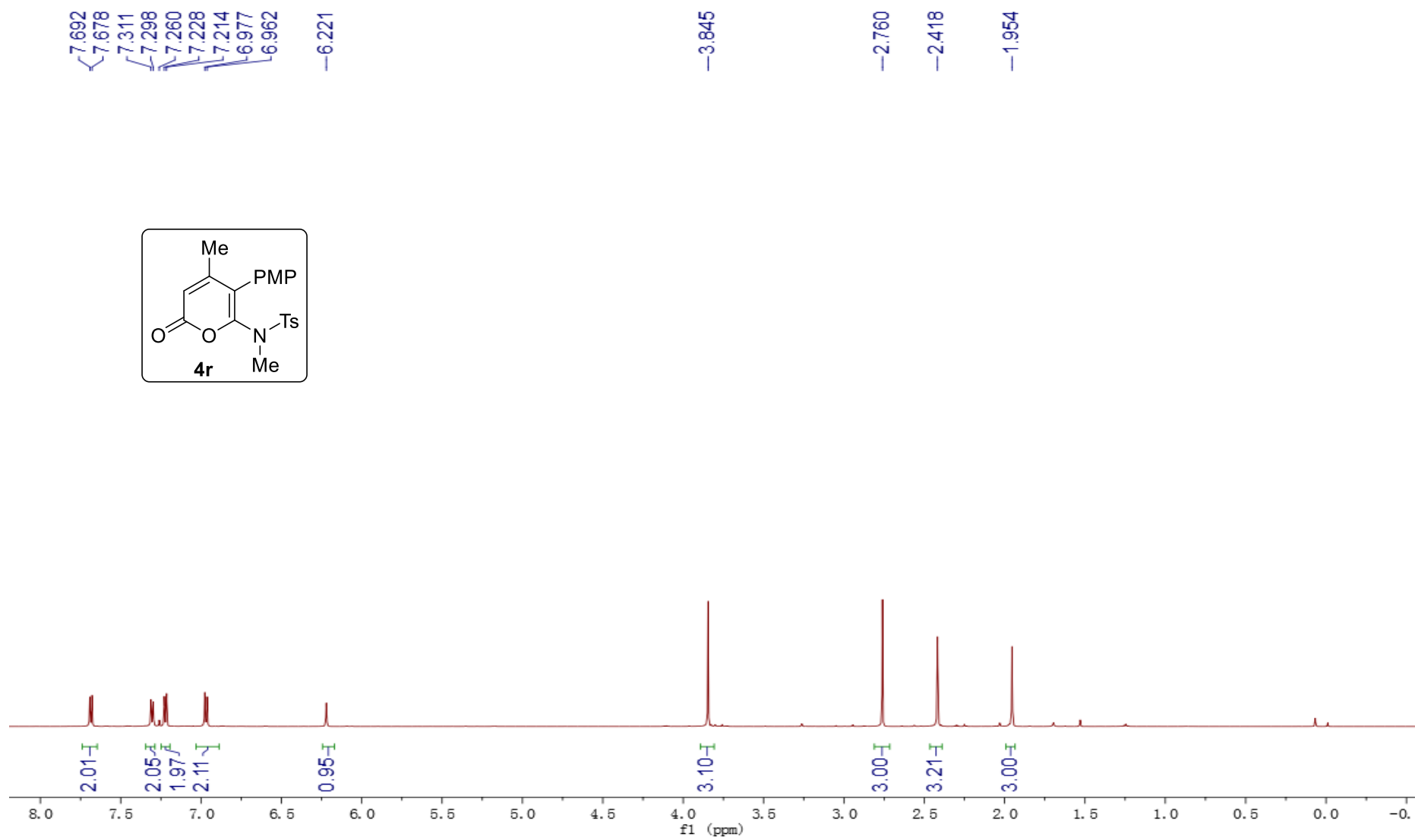


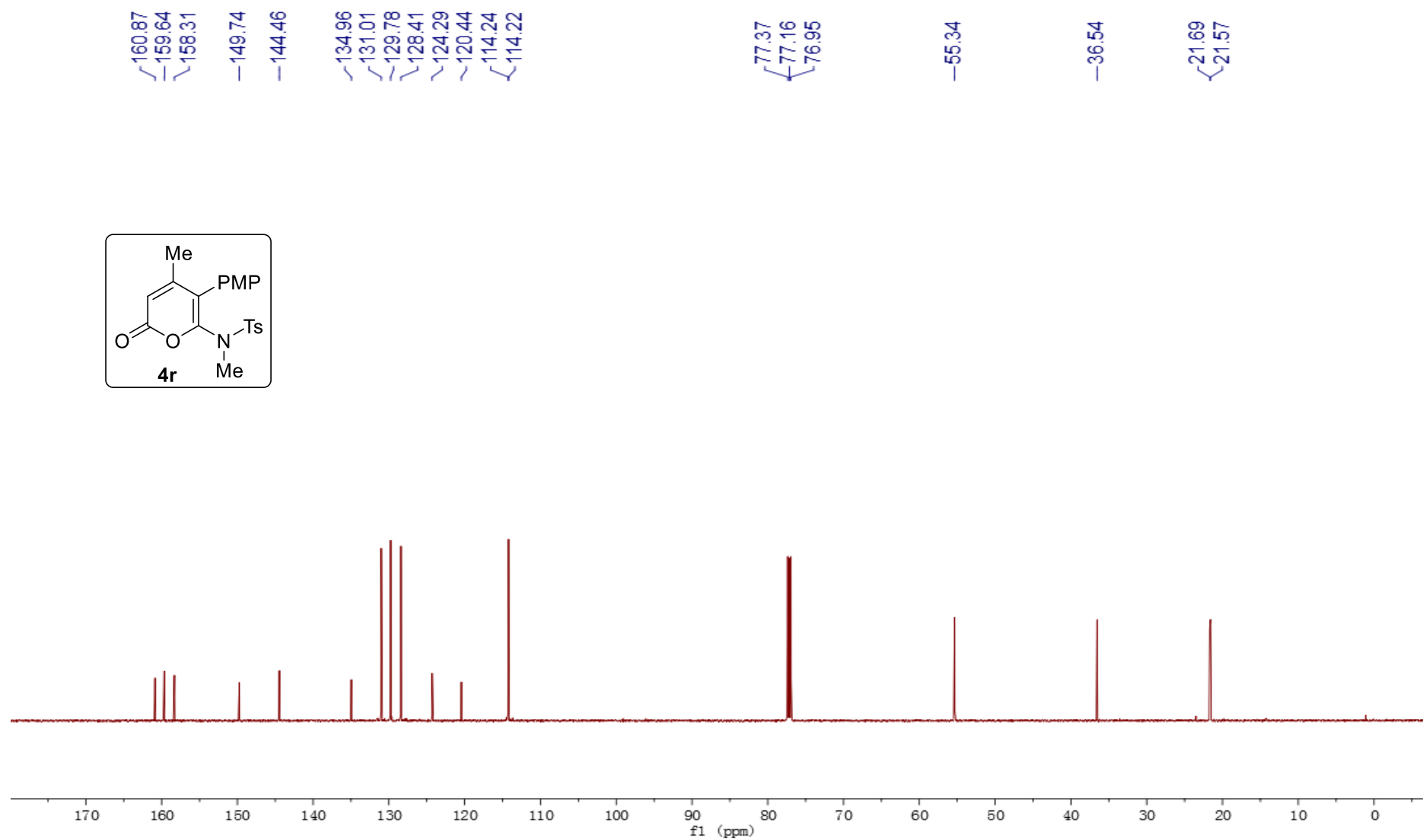
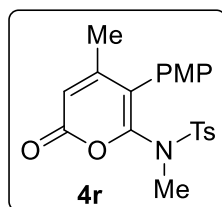


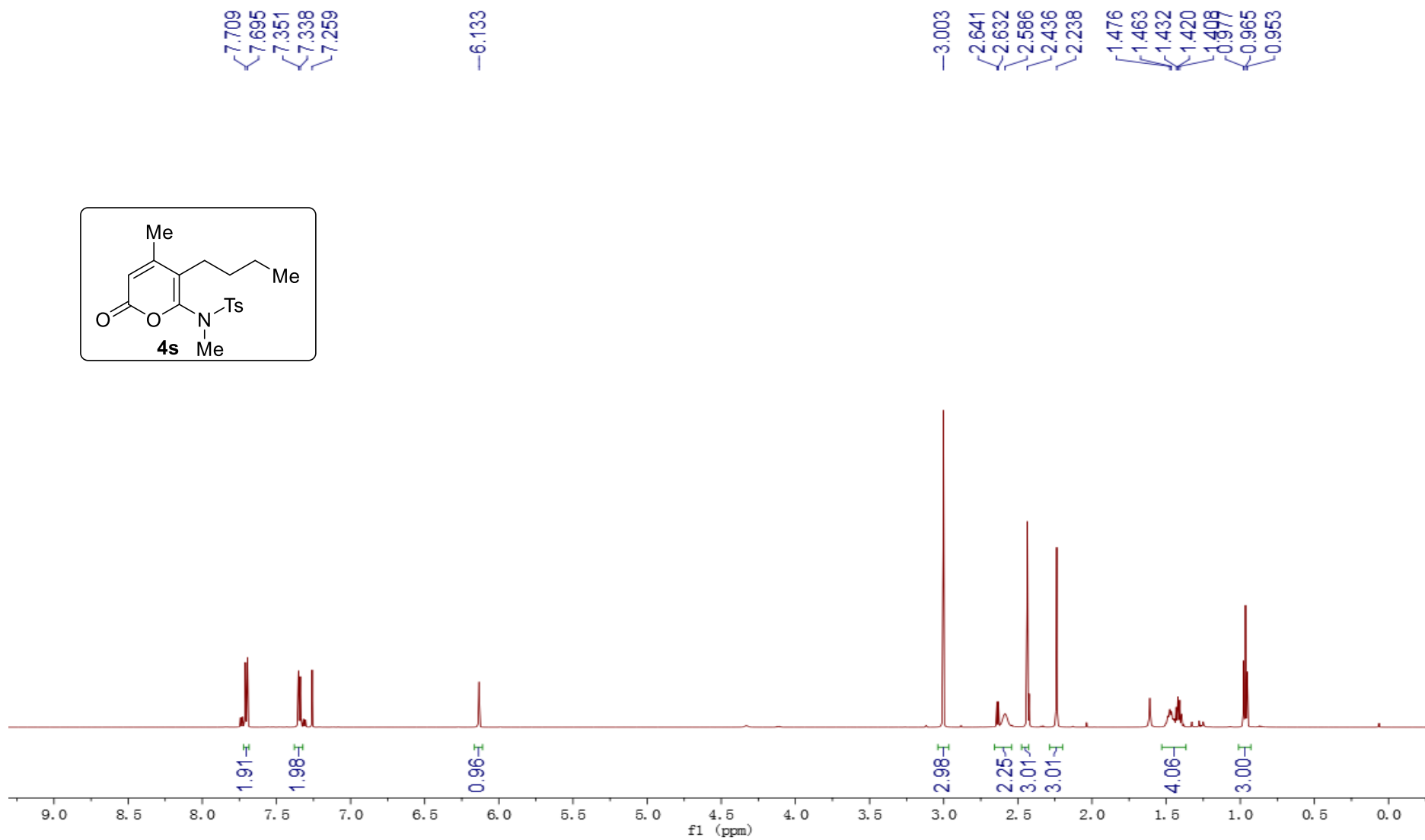
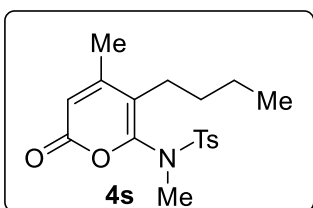


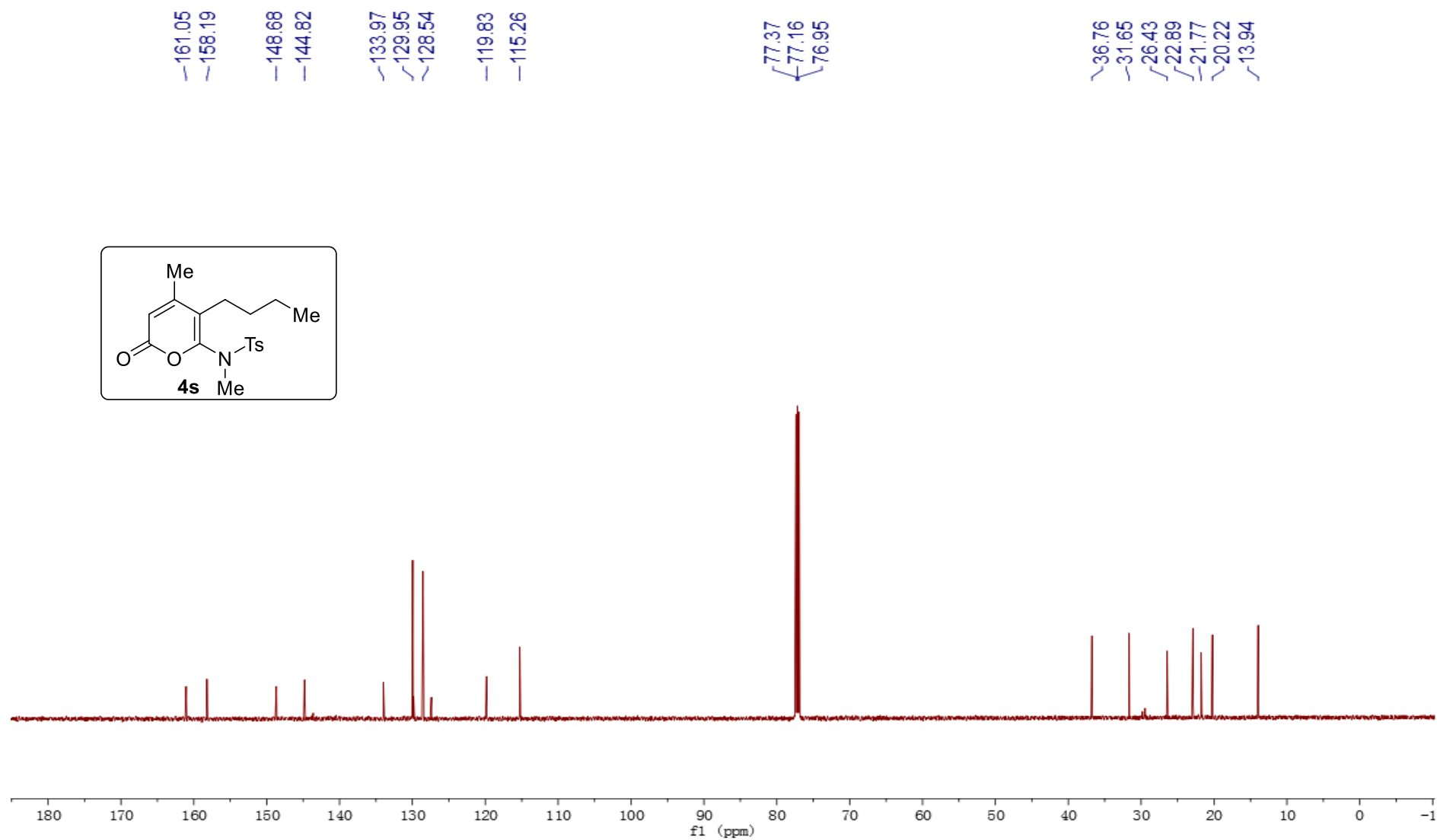
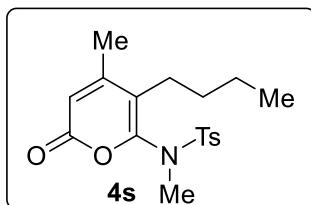


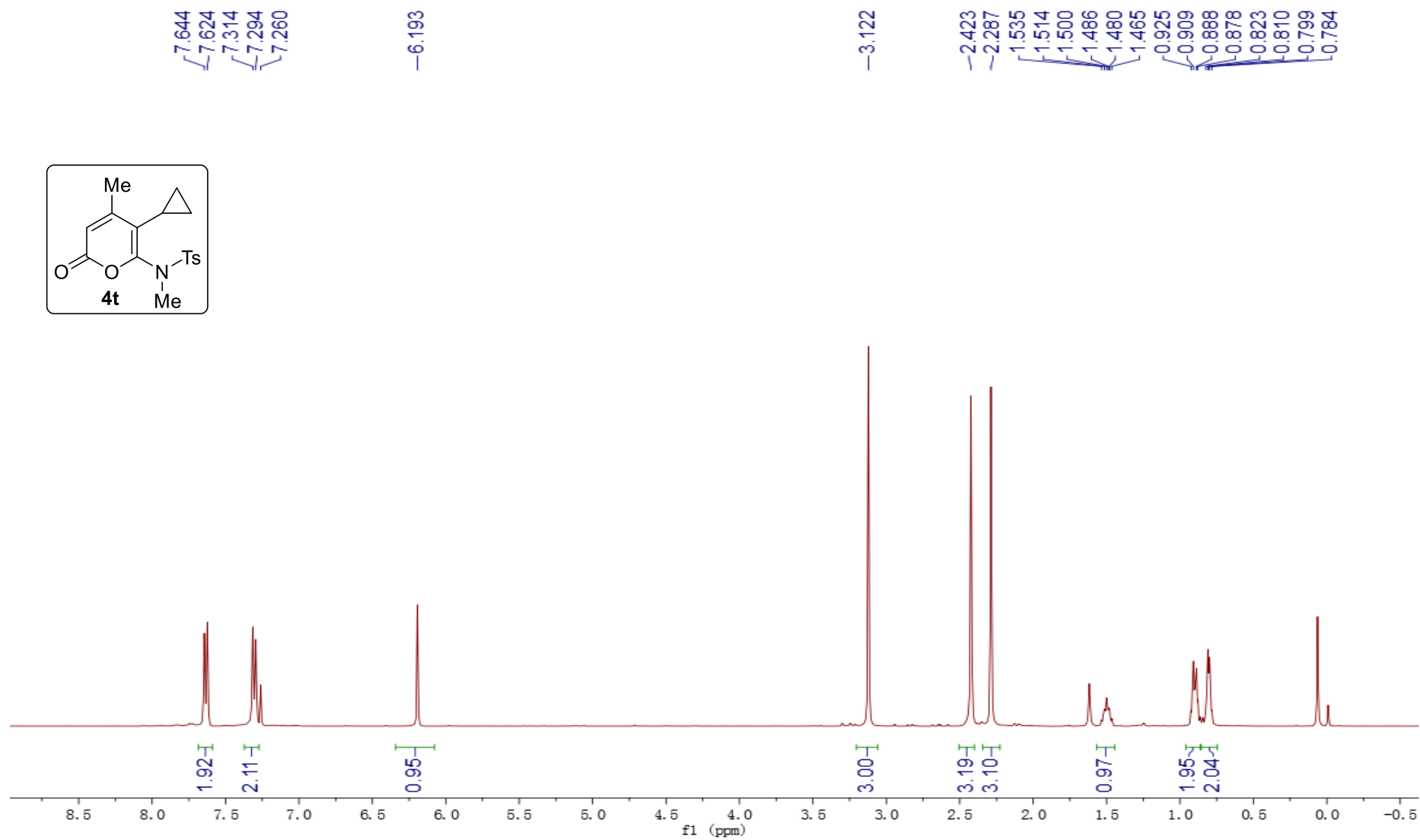
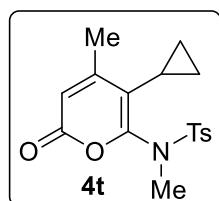


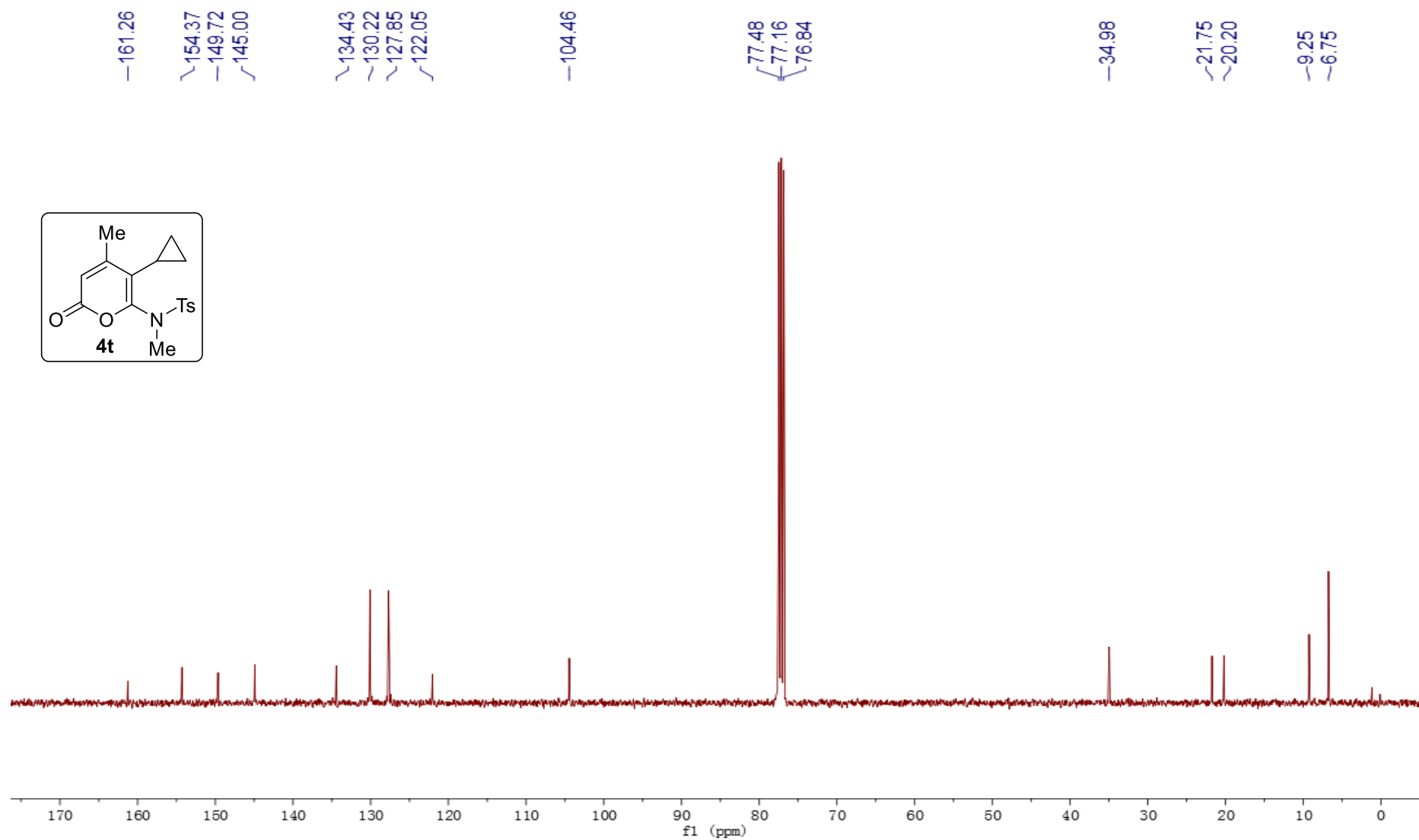
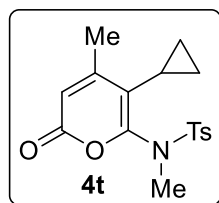


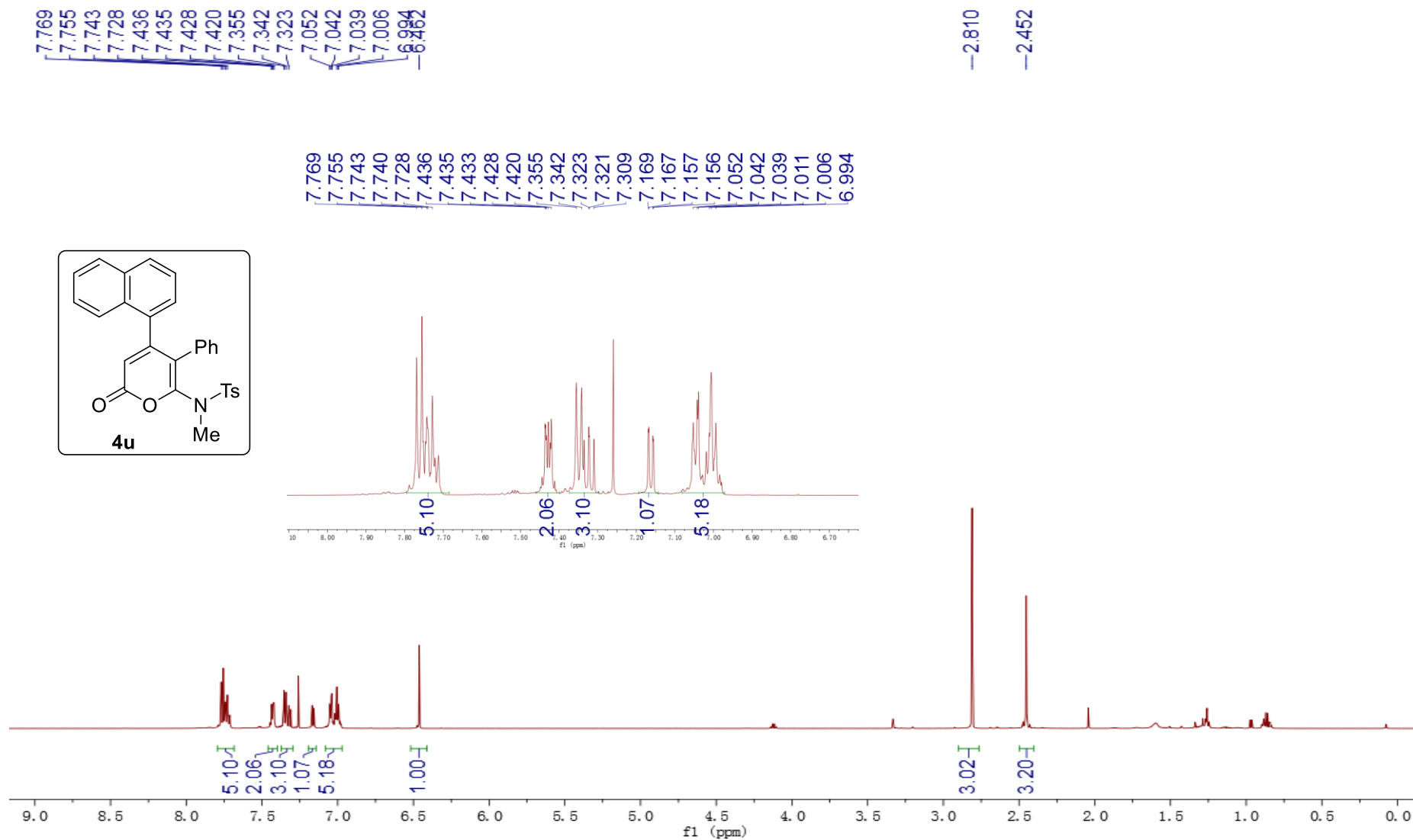
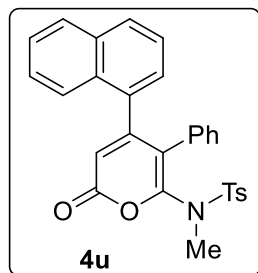


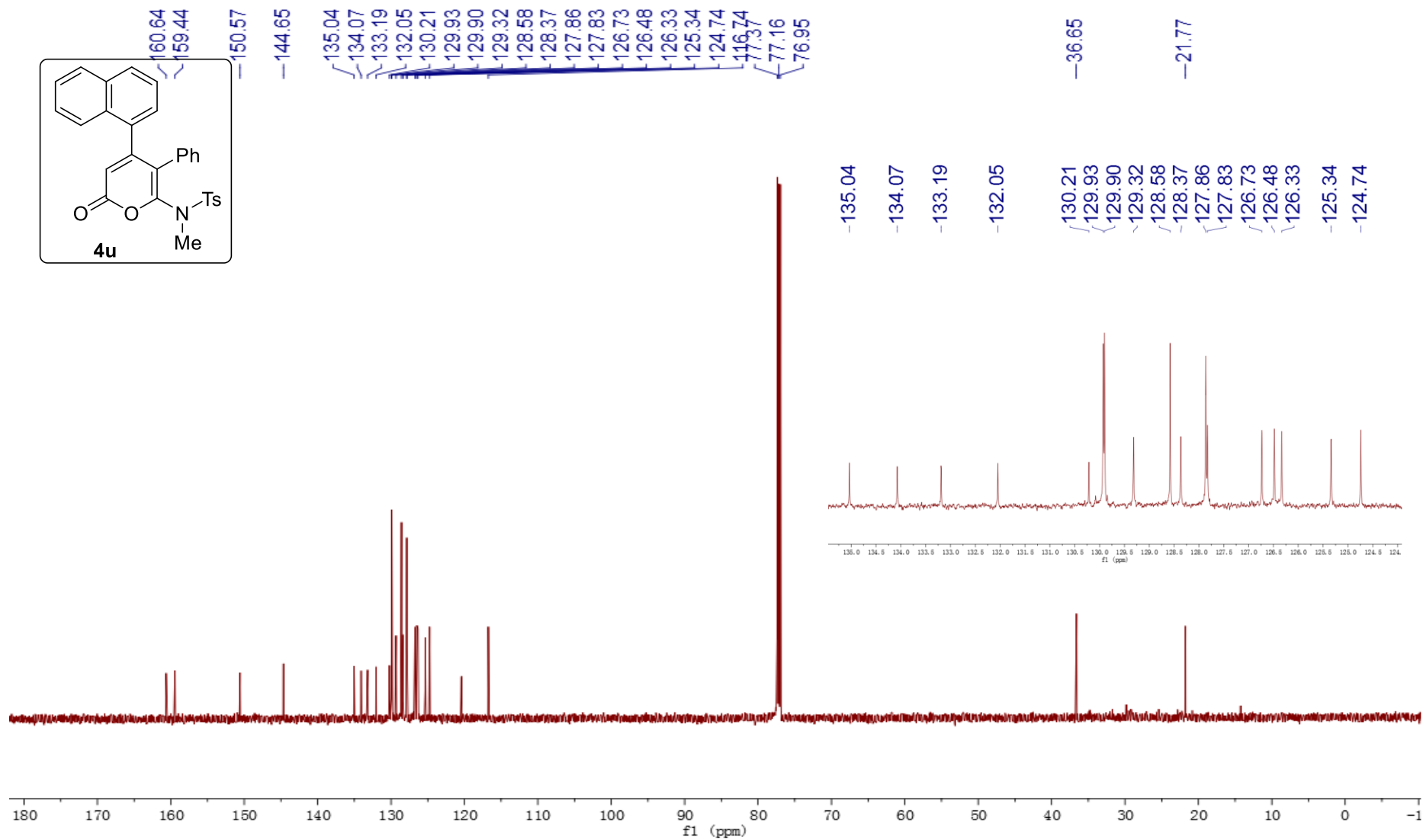
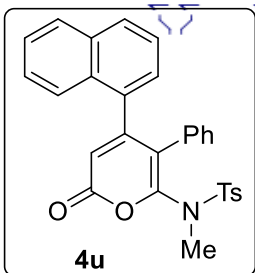


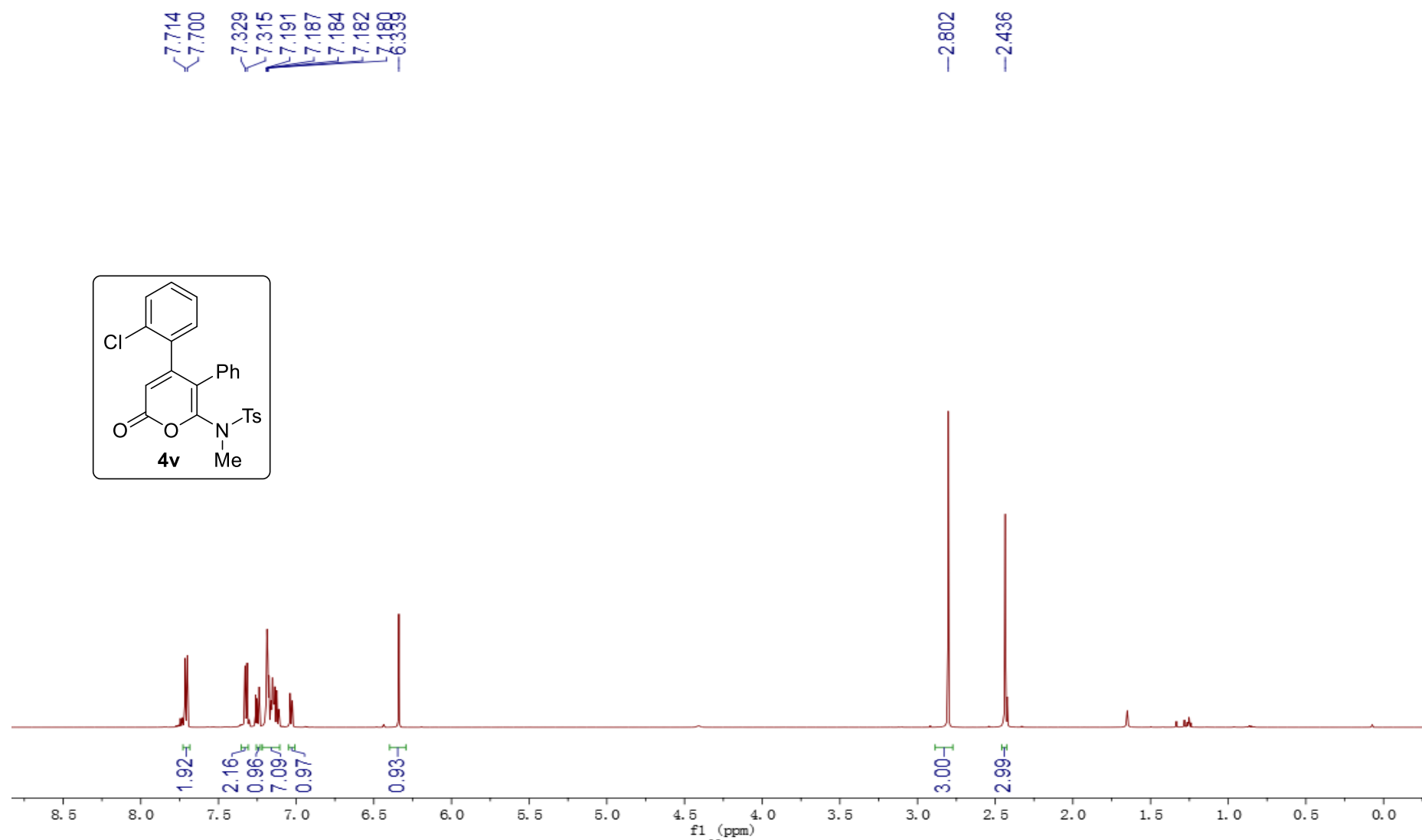
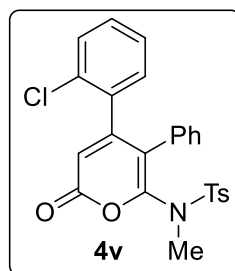


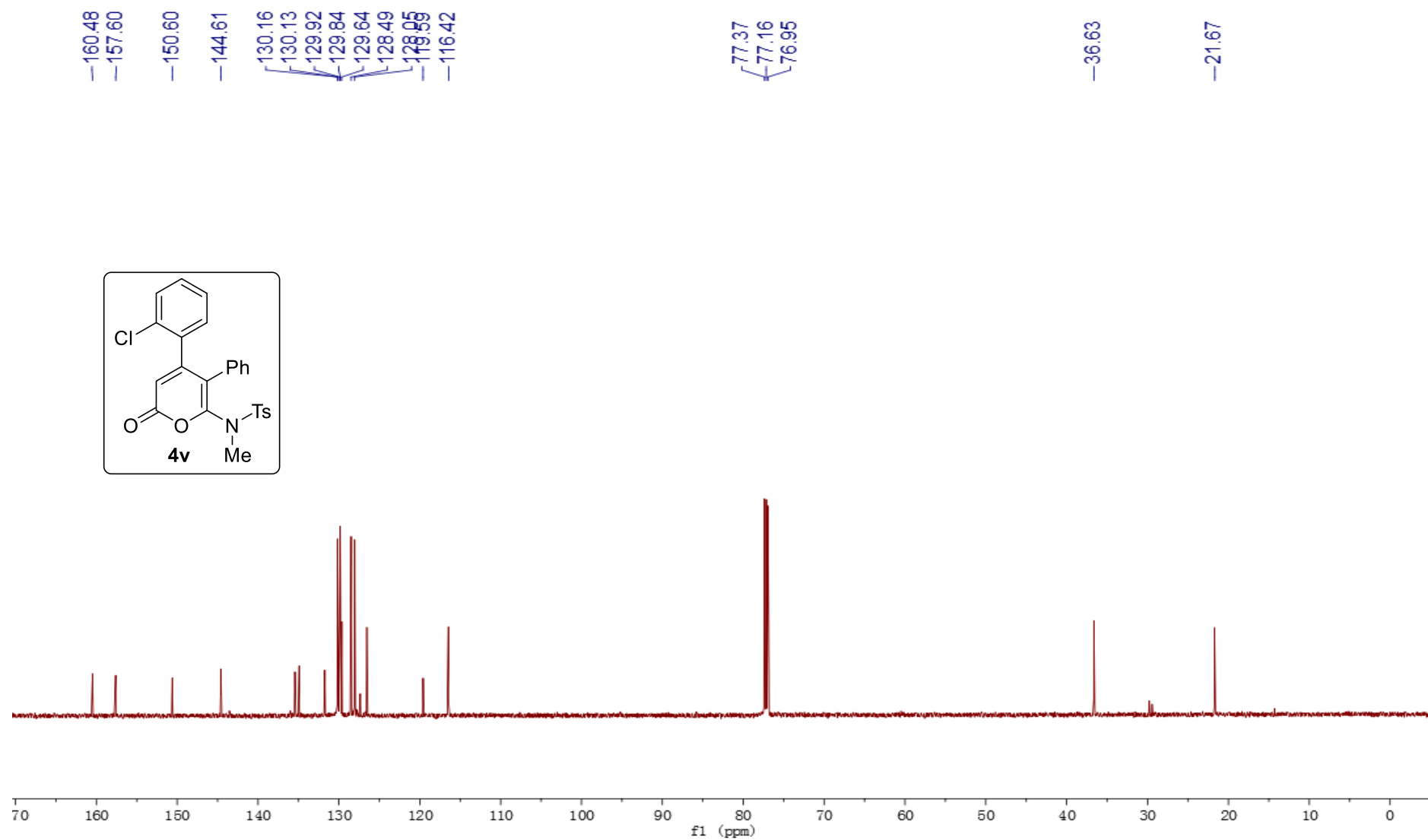
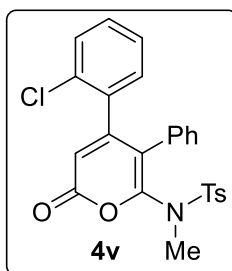


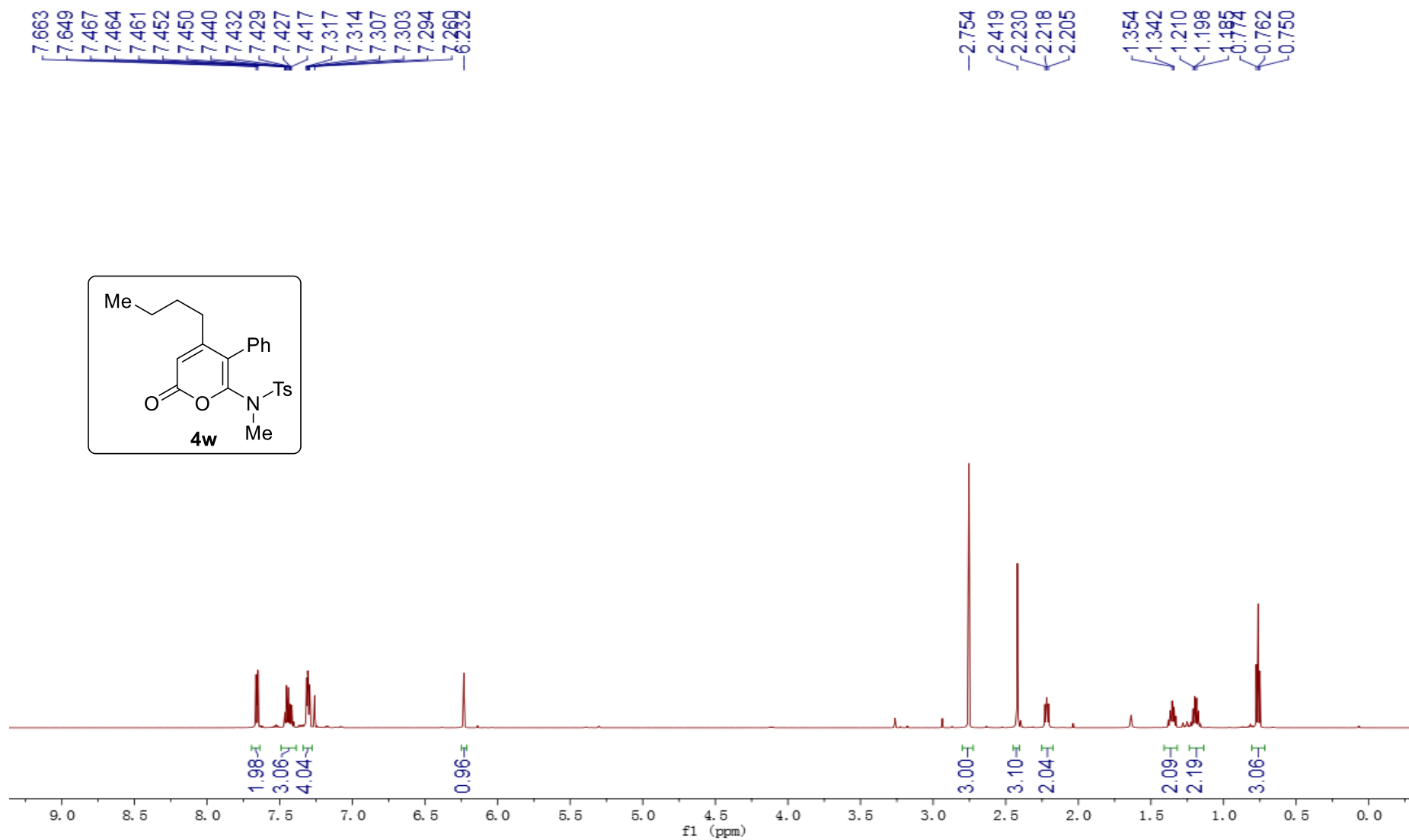


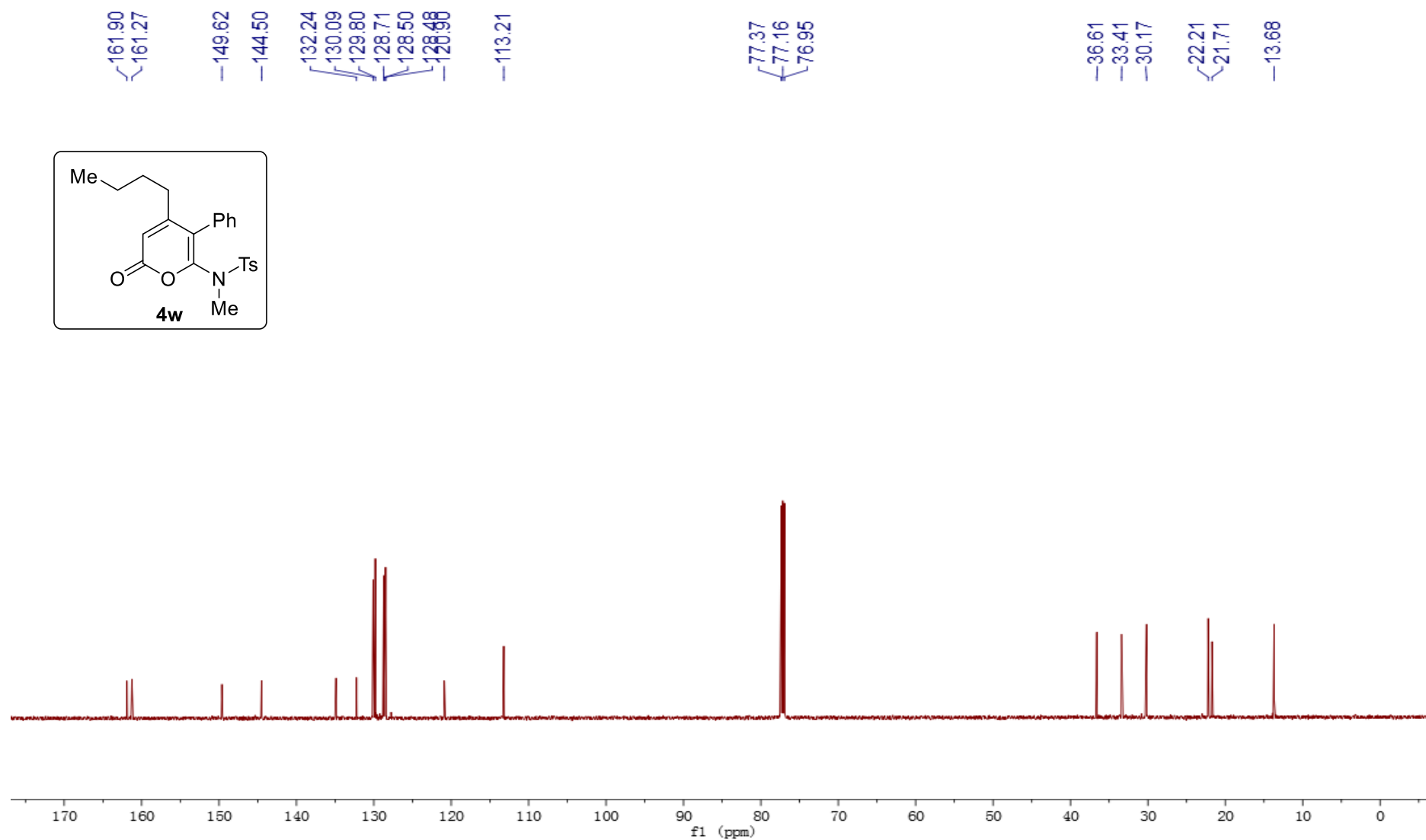
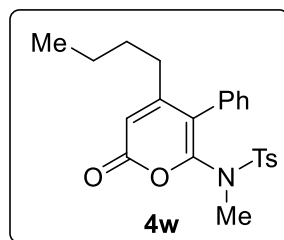








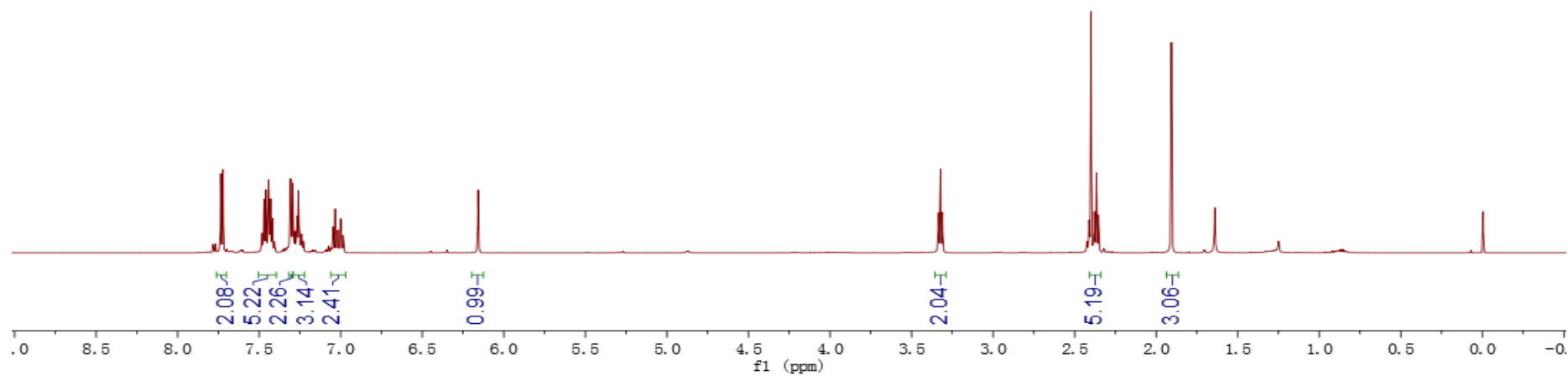
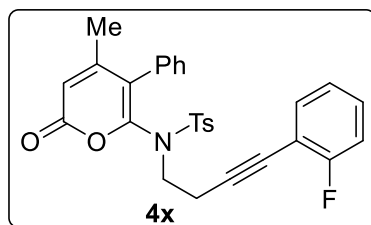


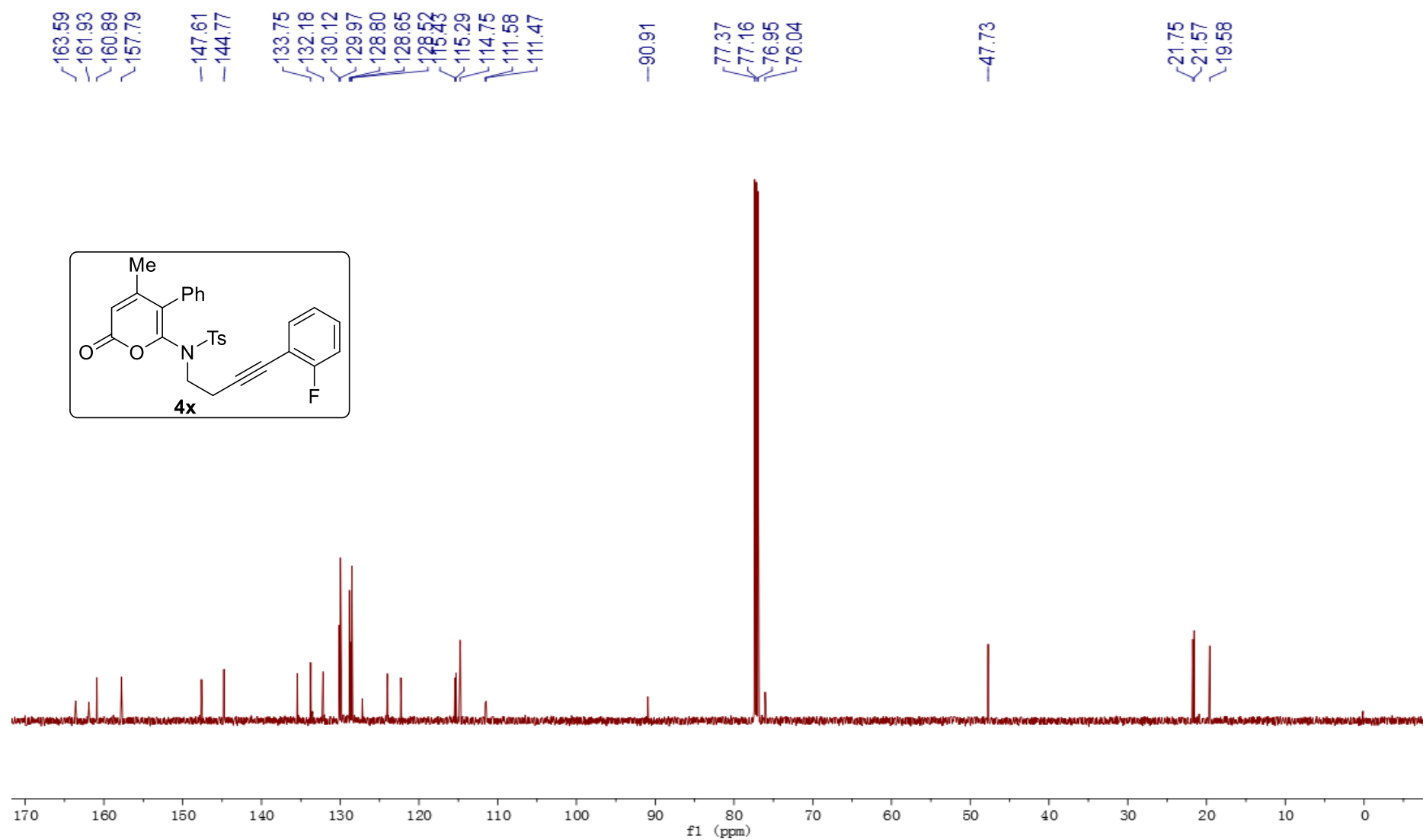


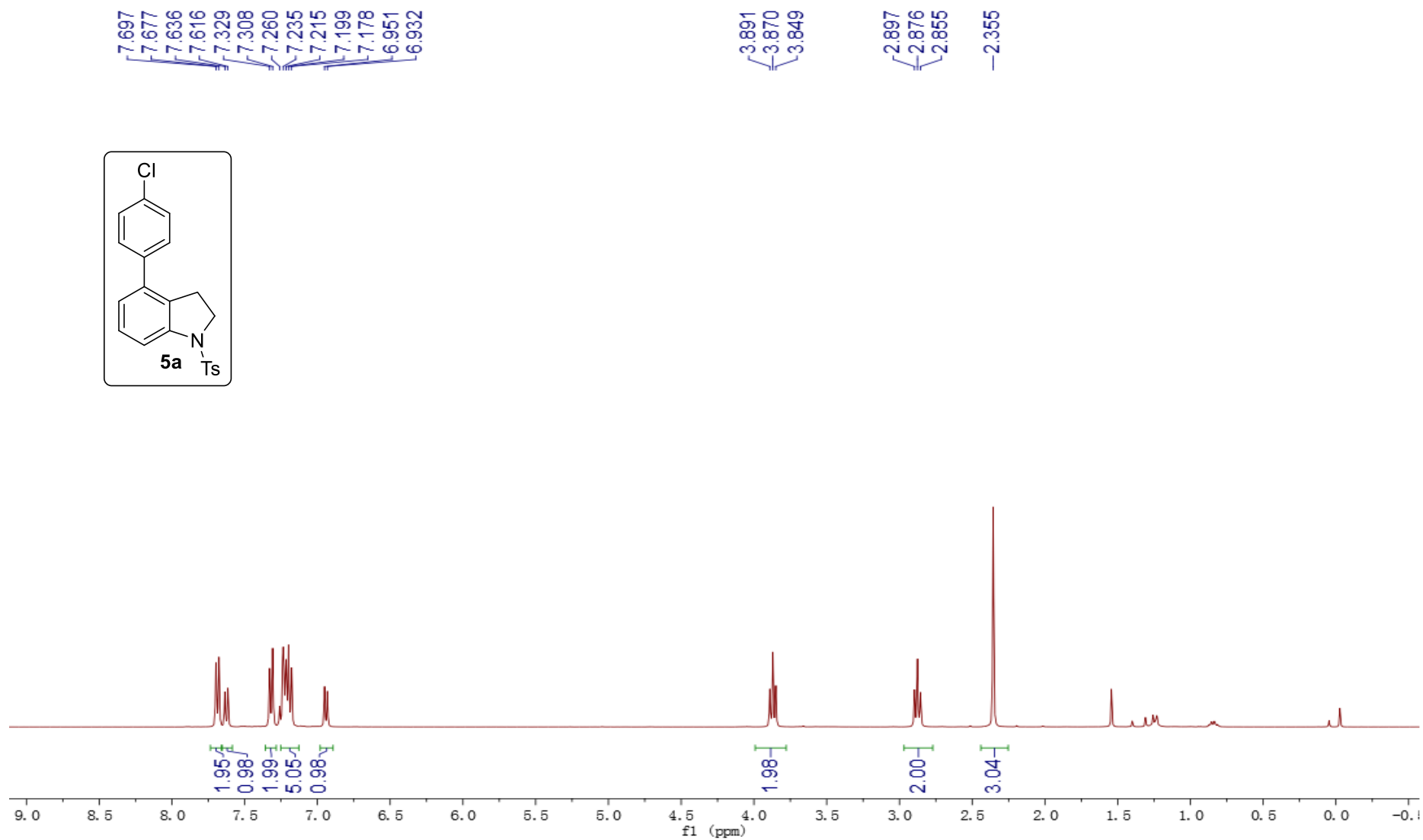
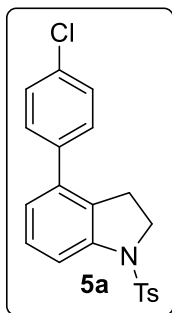
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7.034
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7.009
6.997

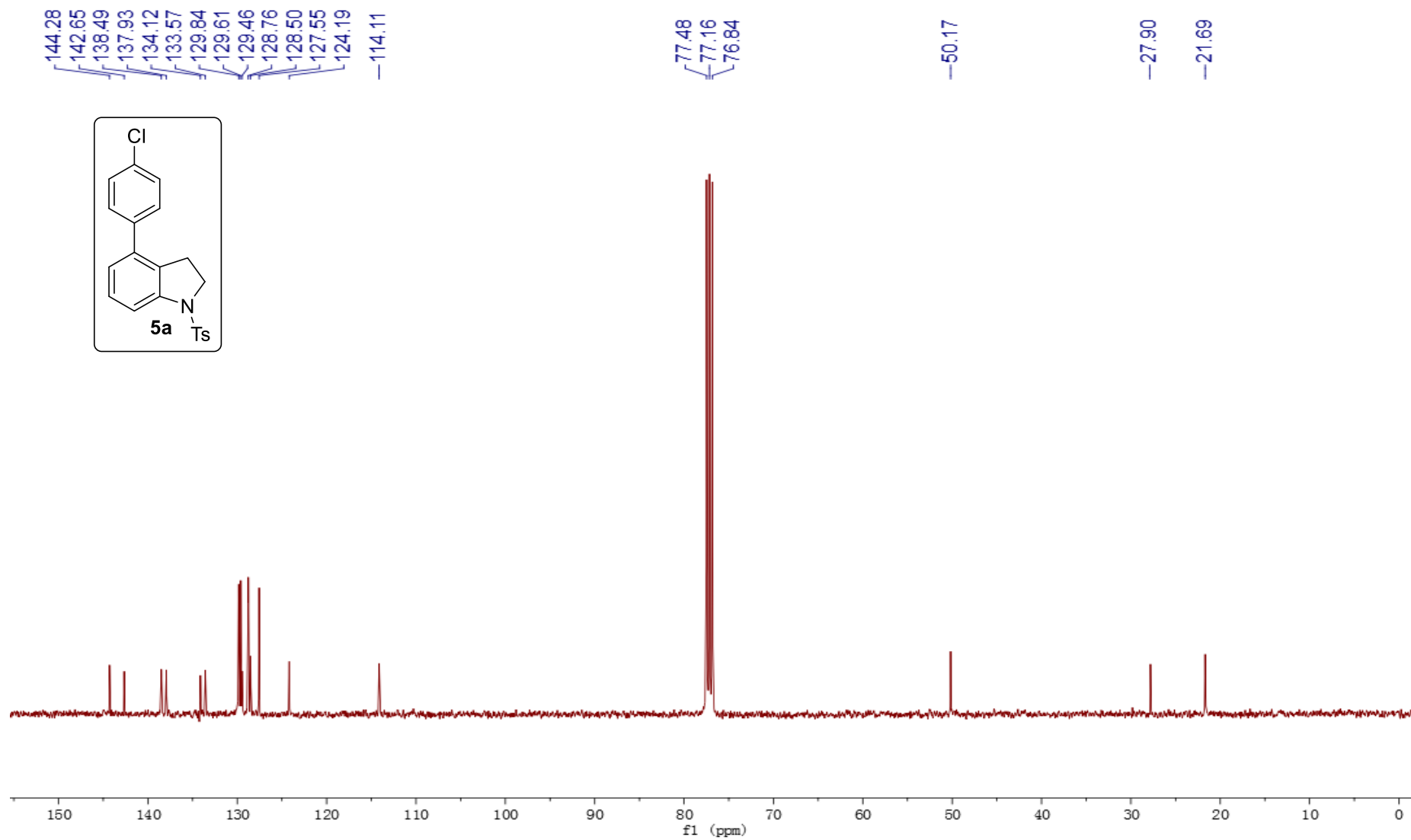
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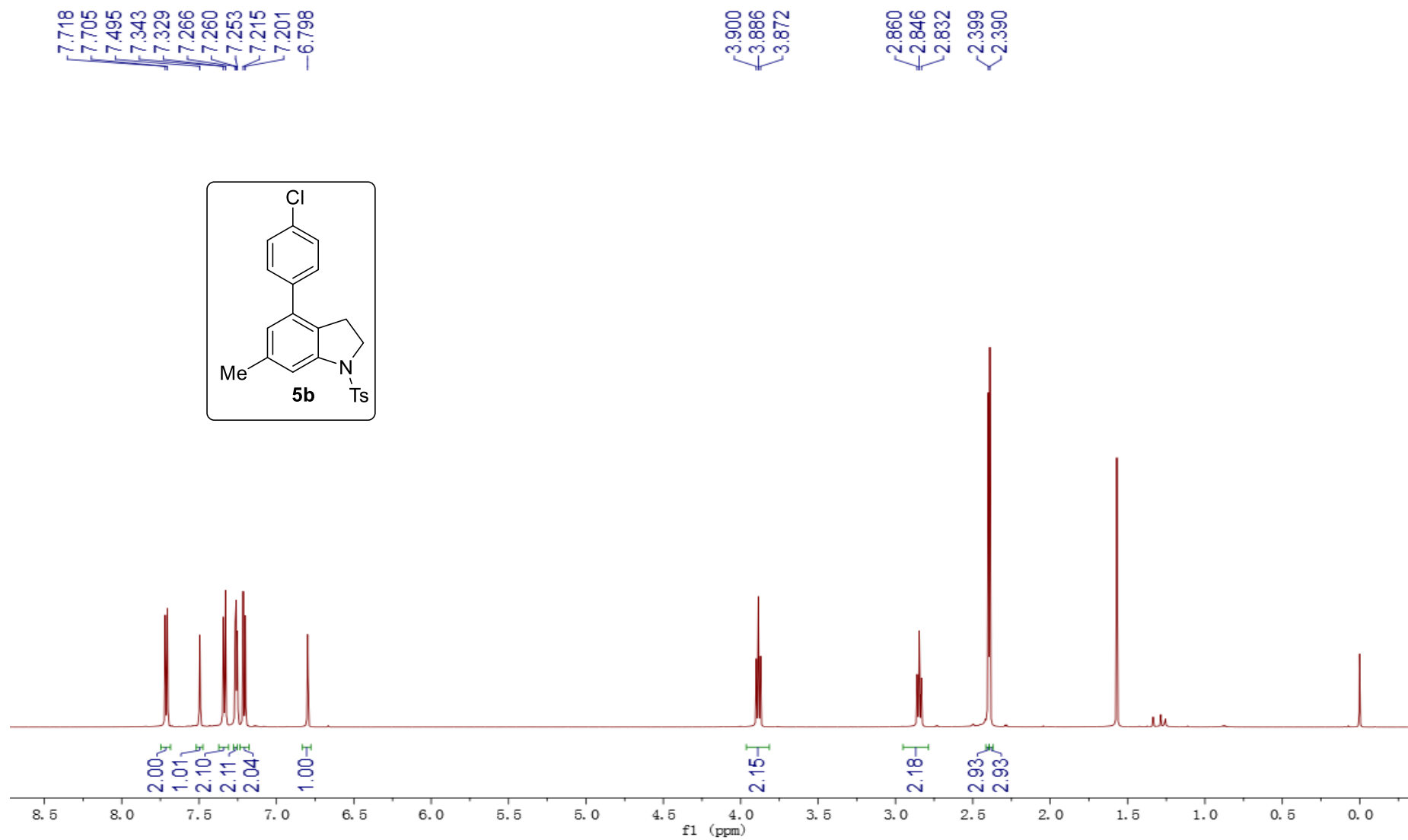
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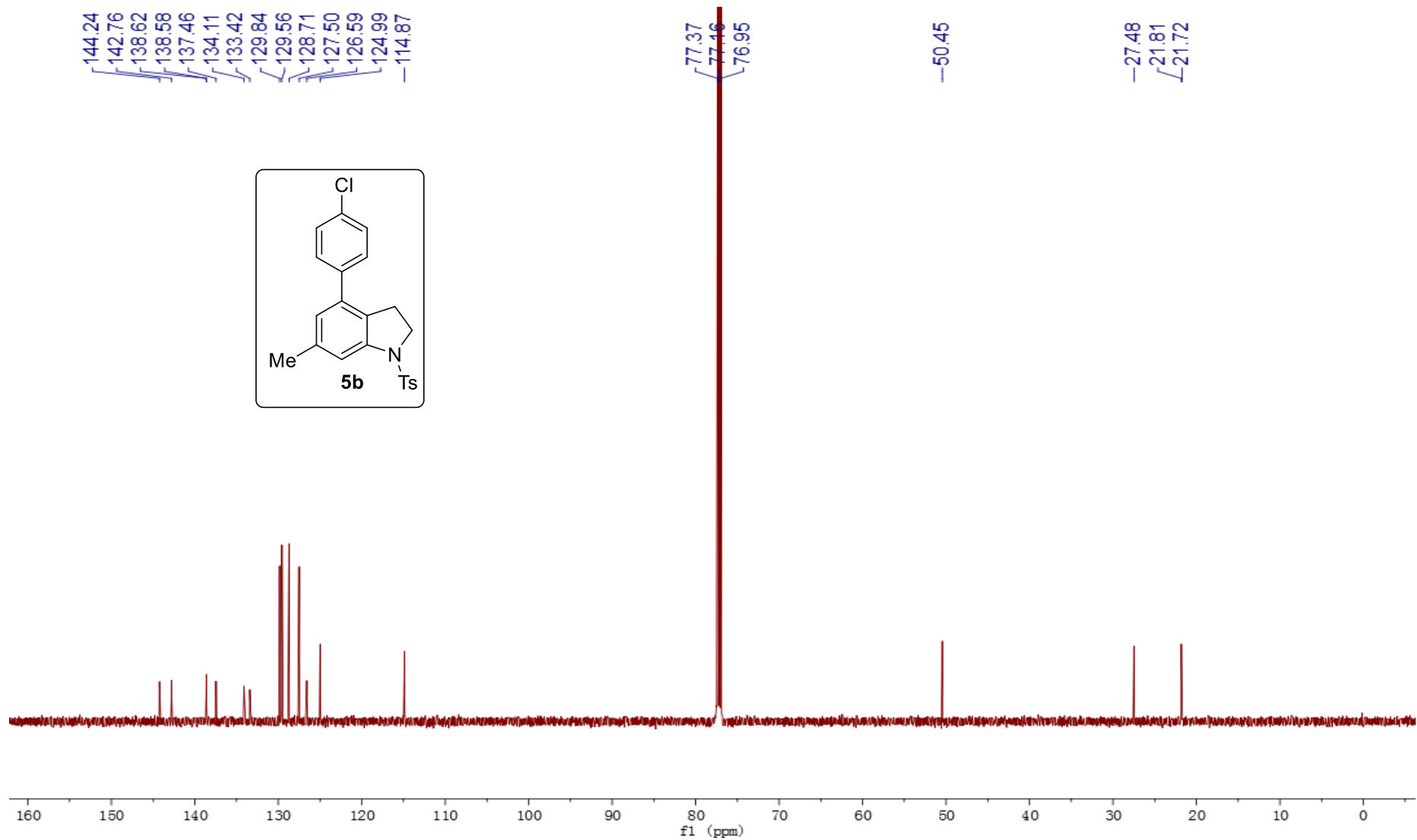


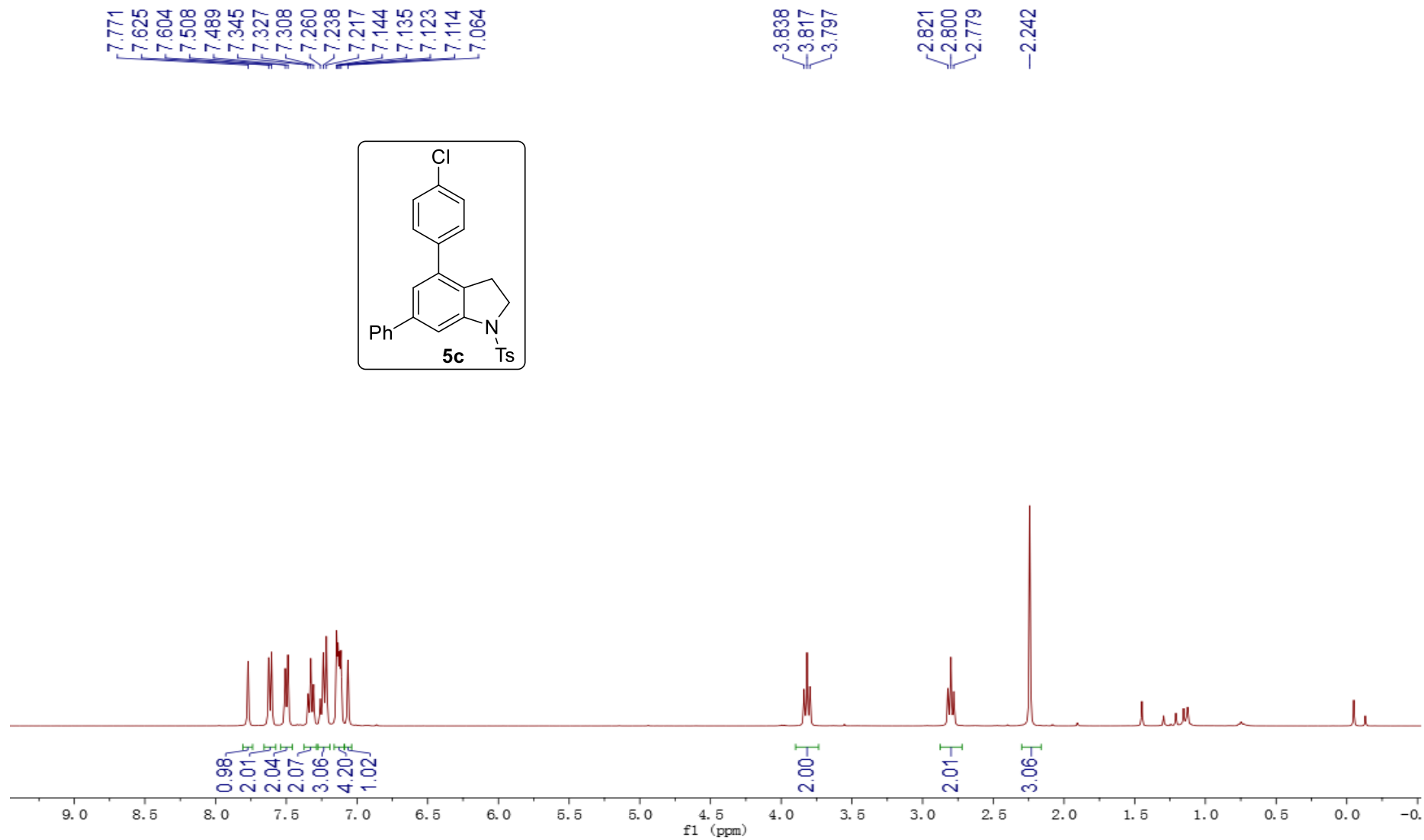


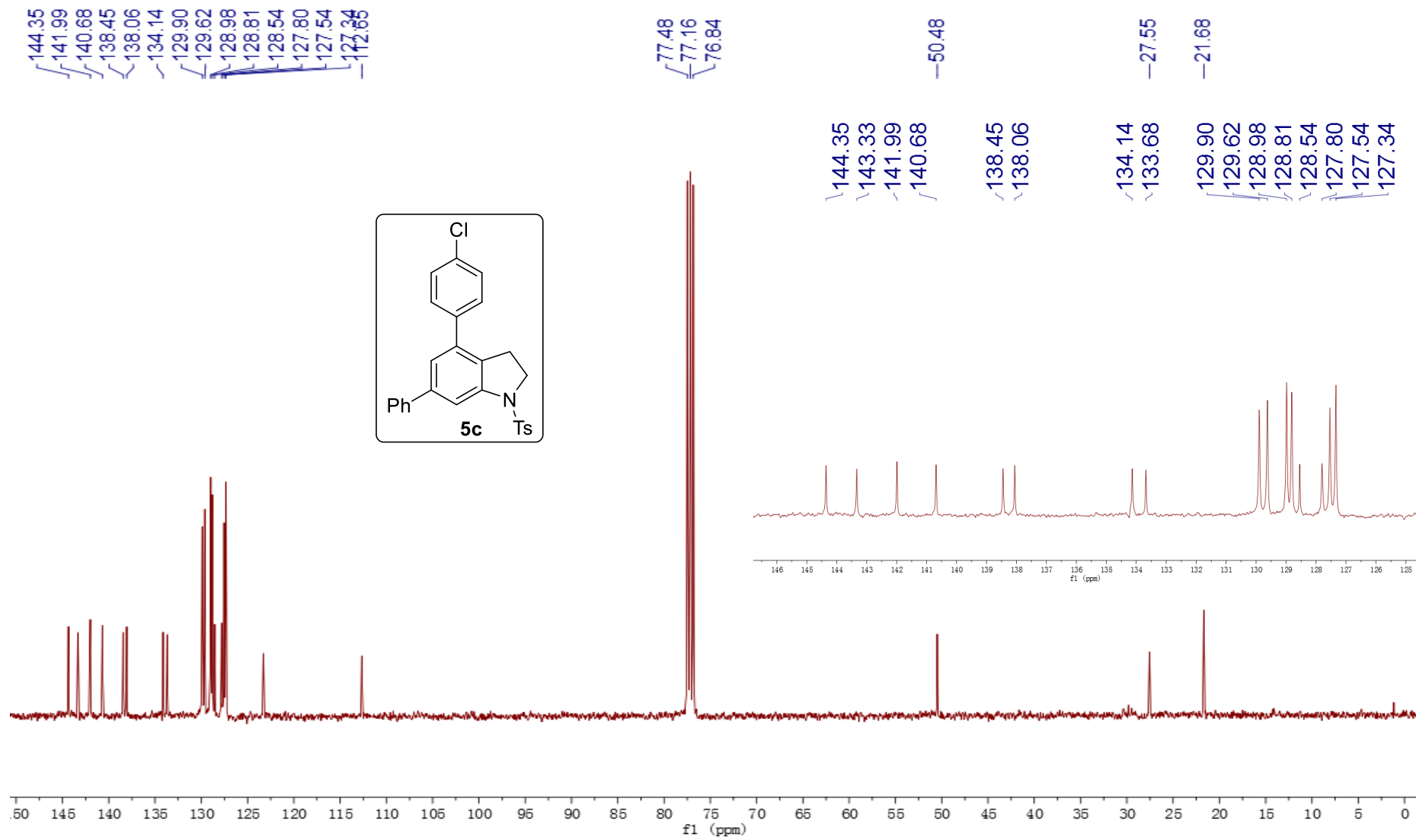


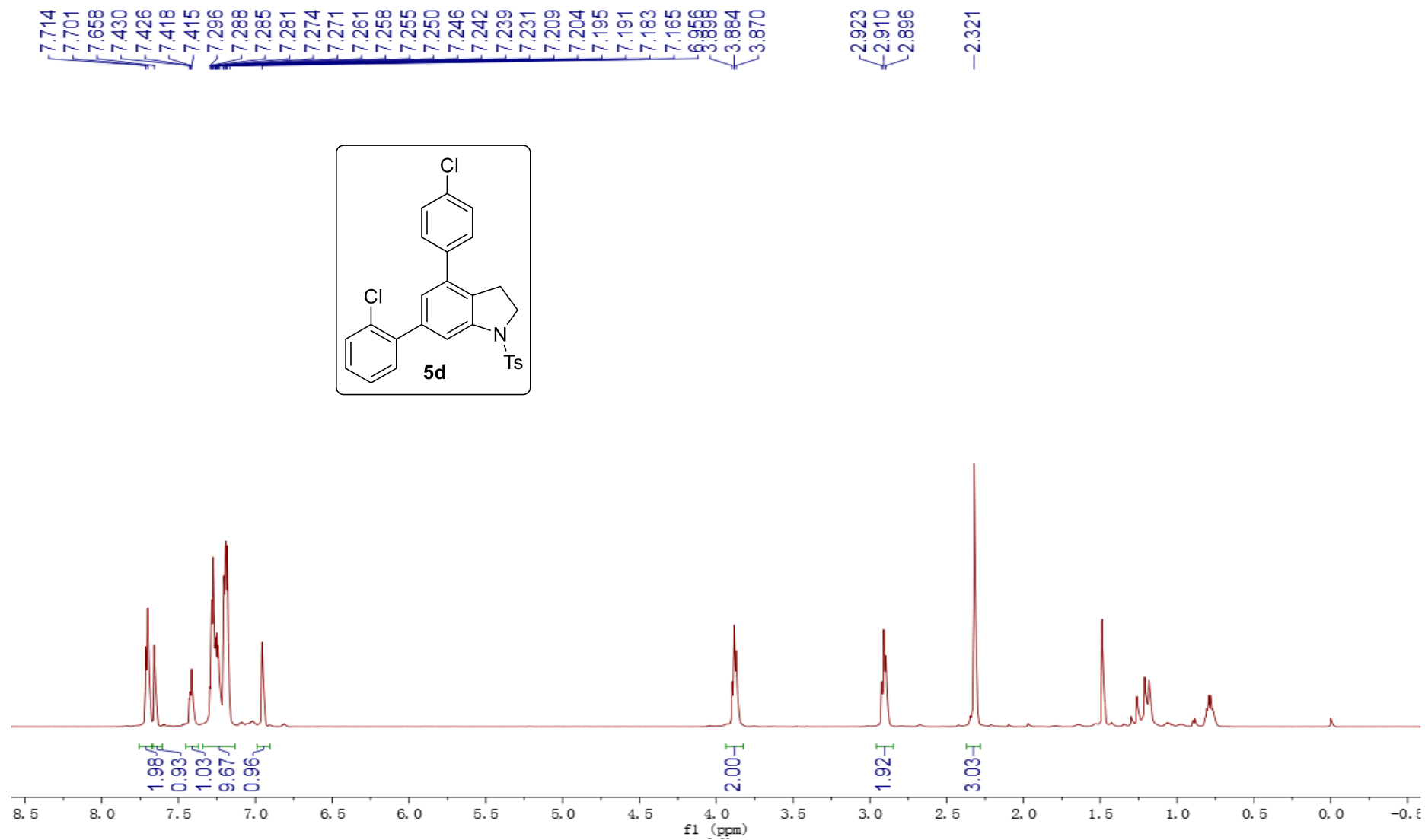


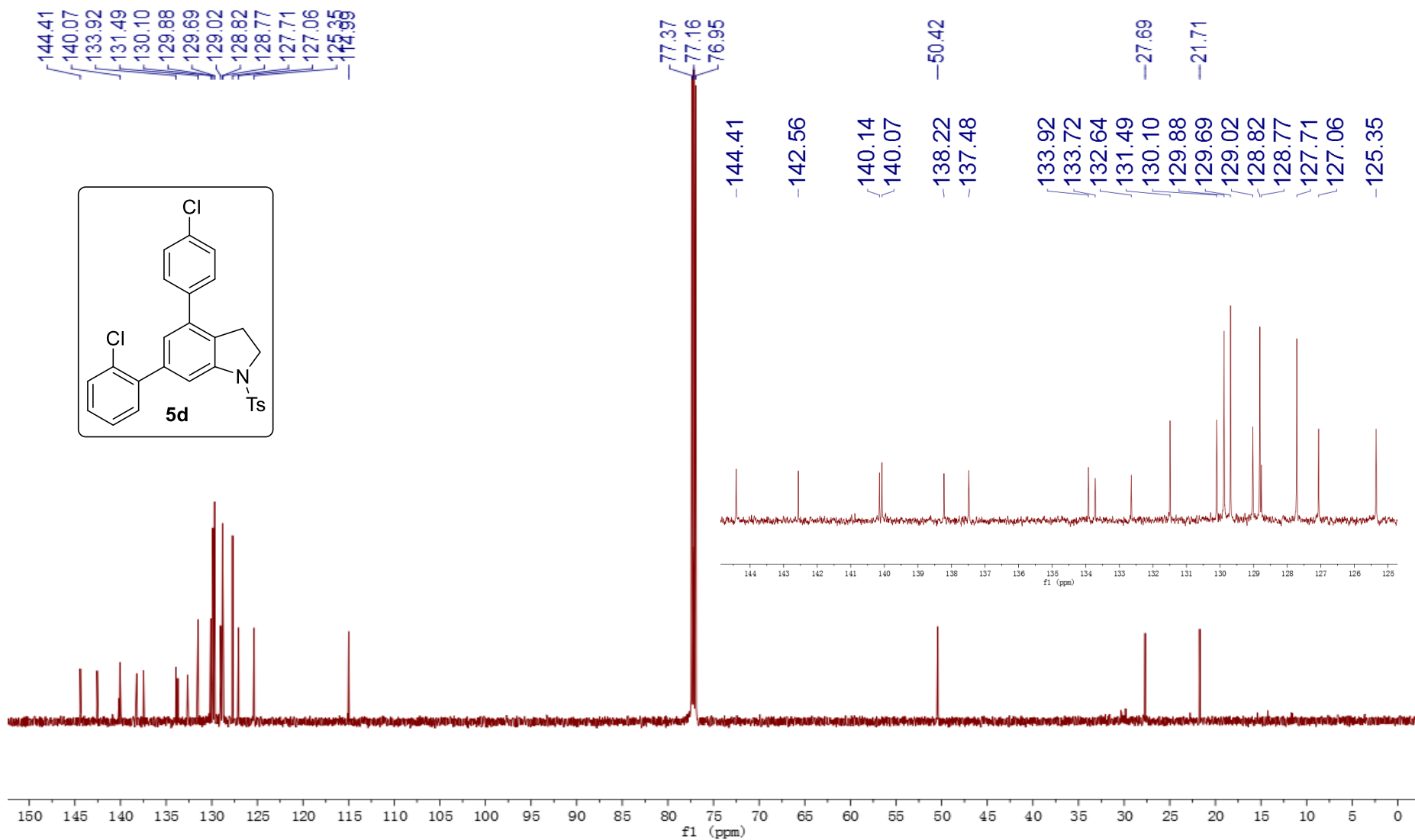
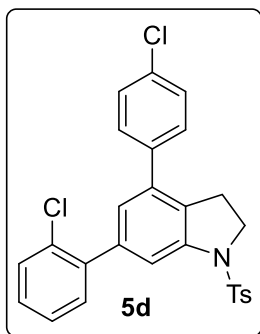


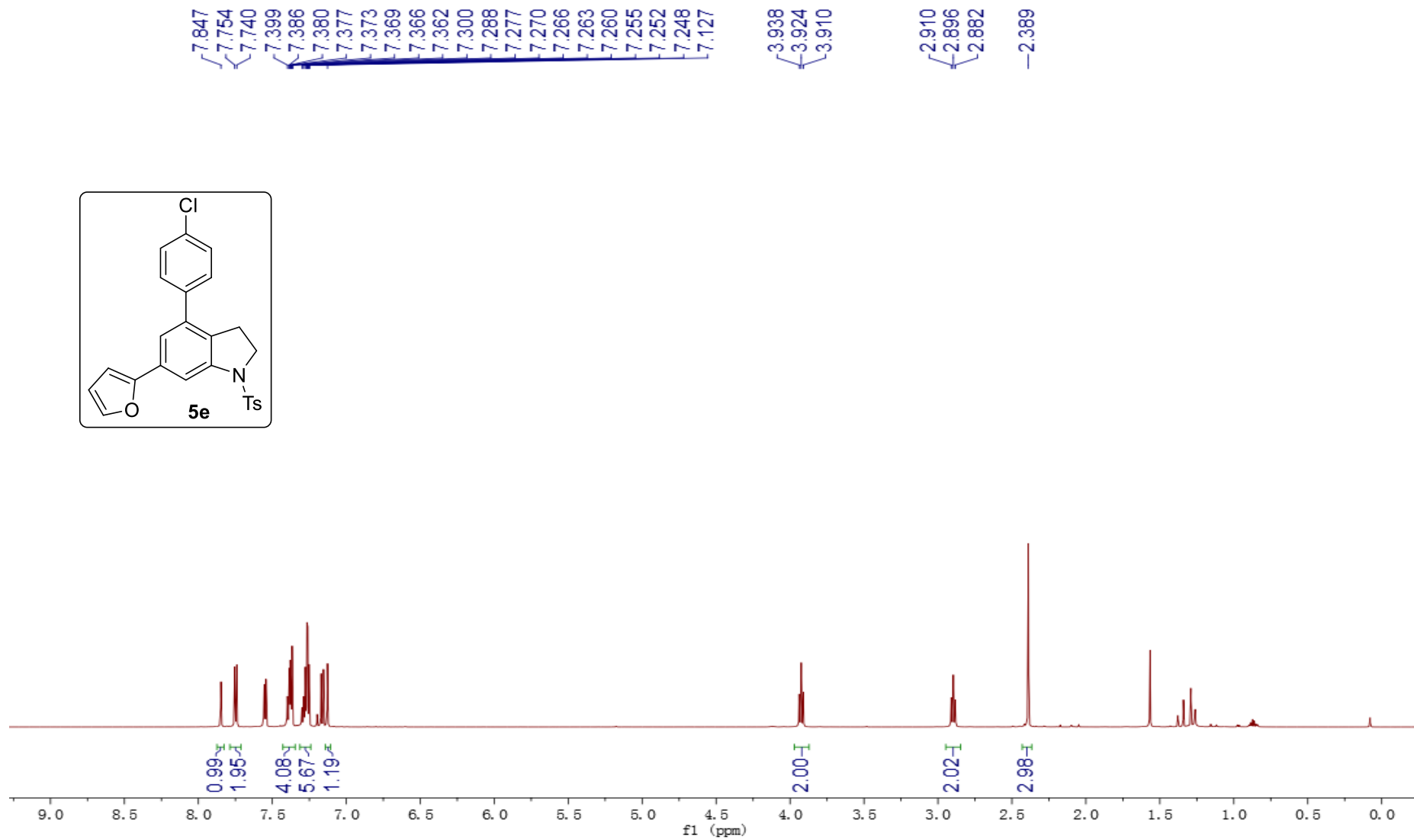
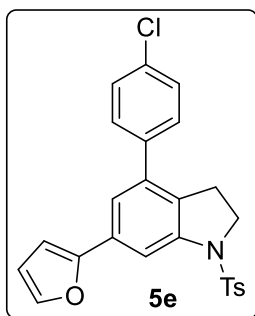


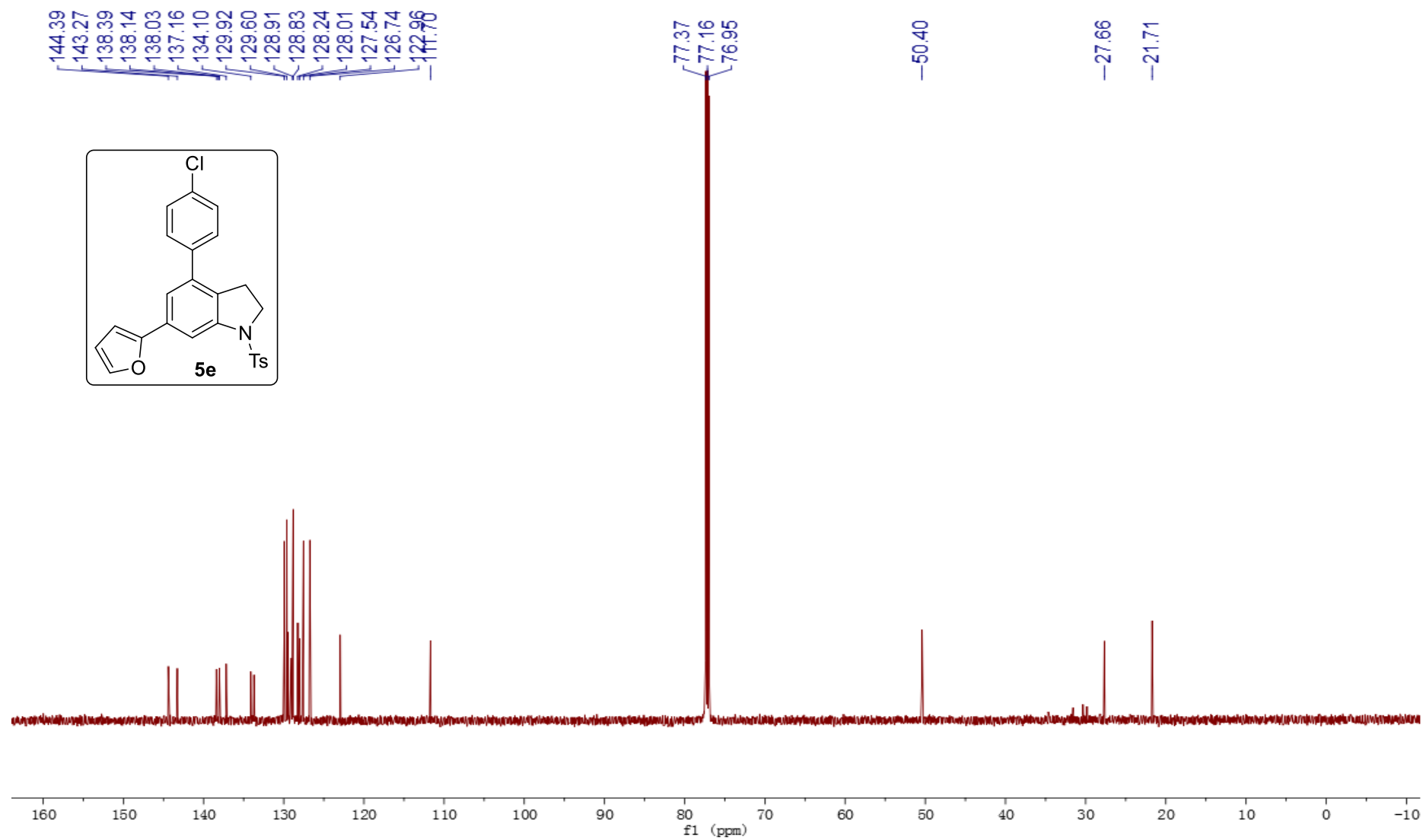


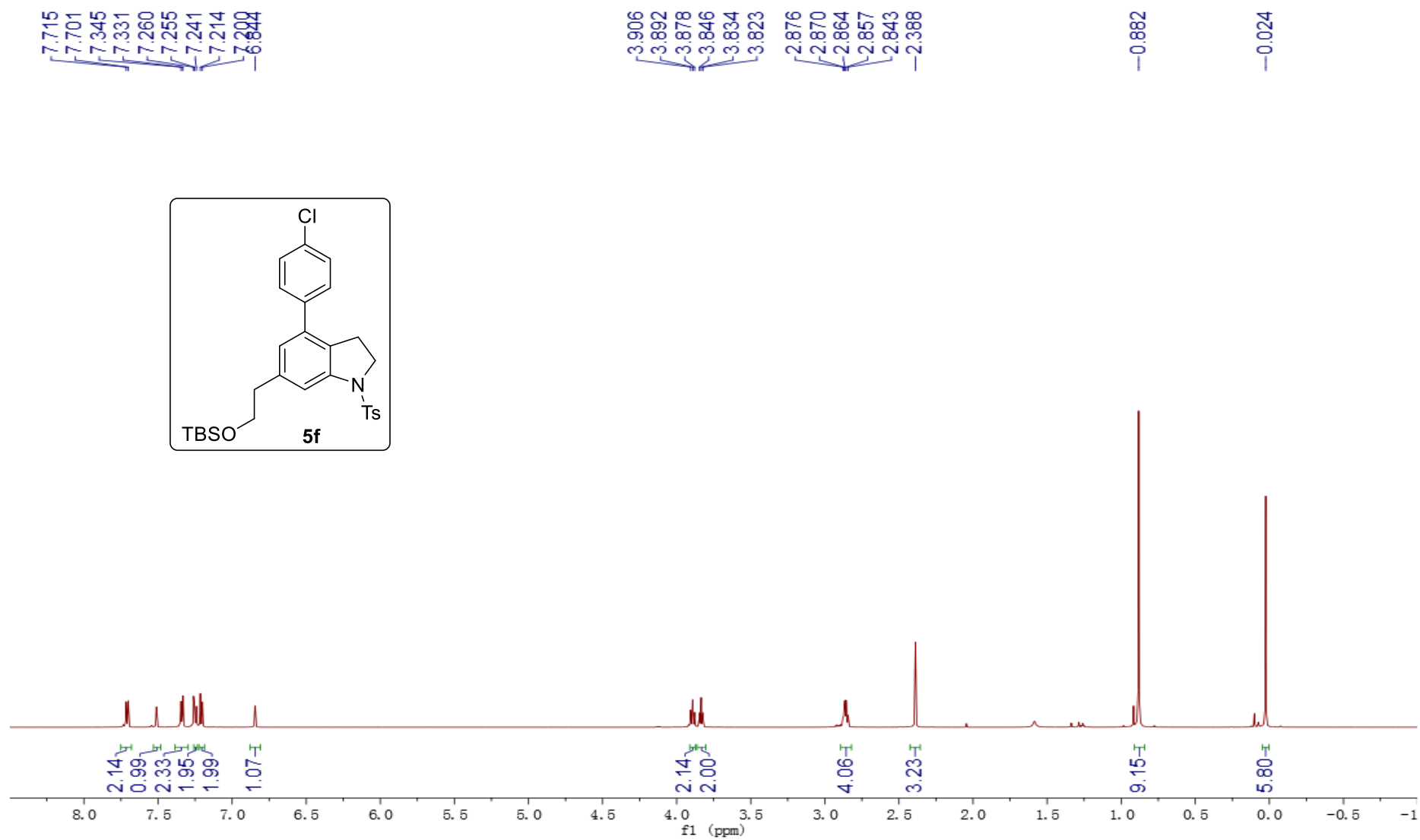












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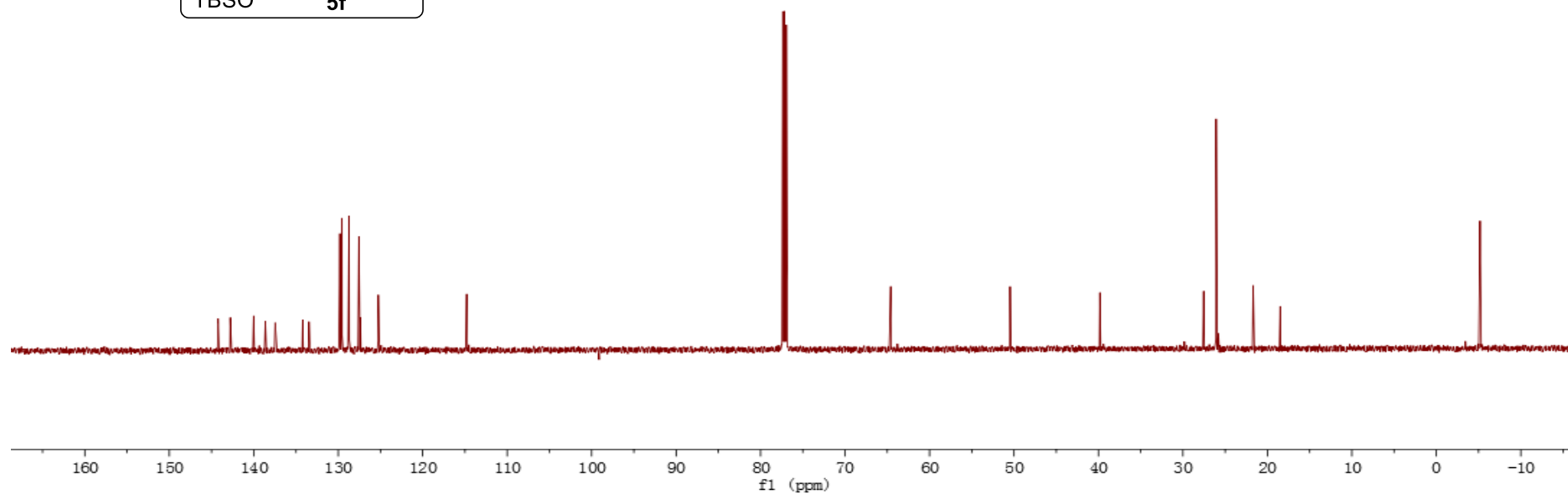
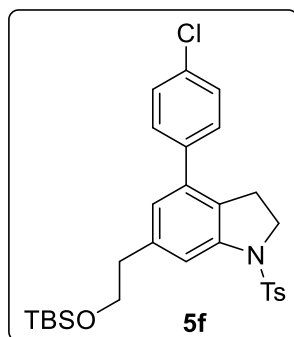
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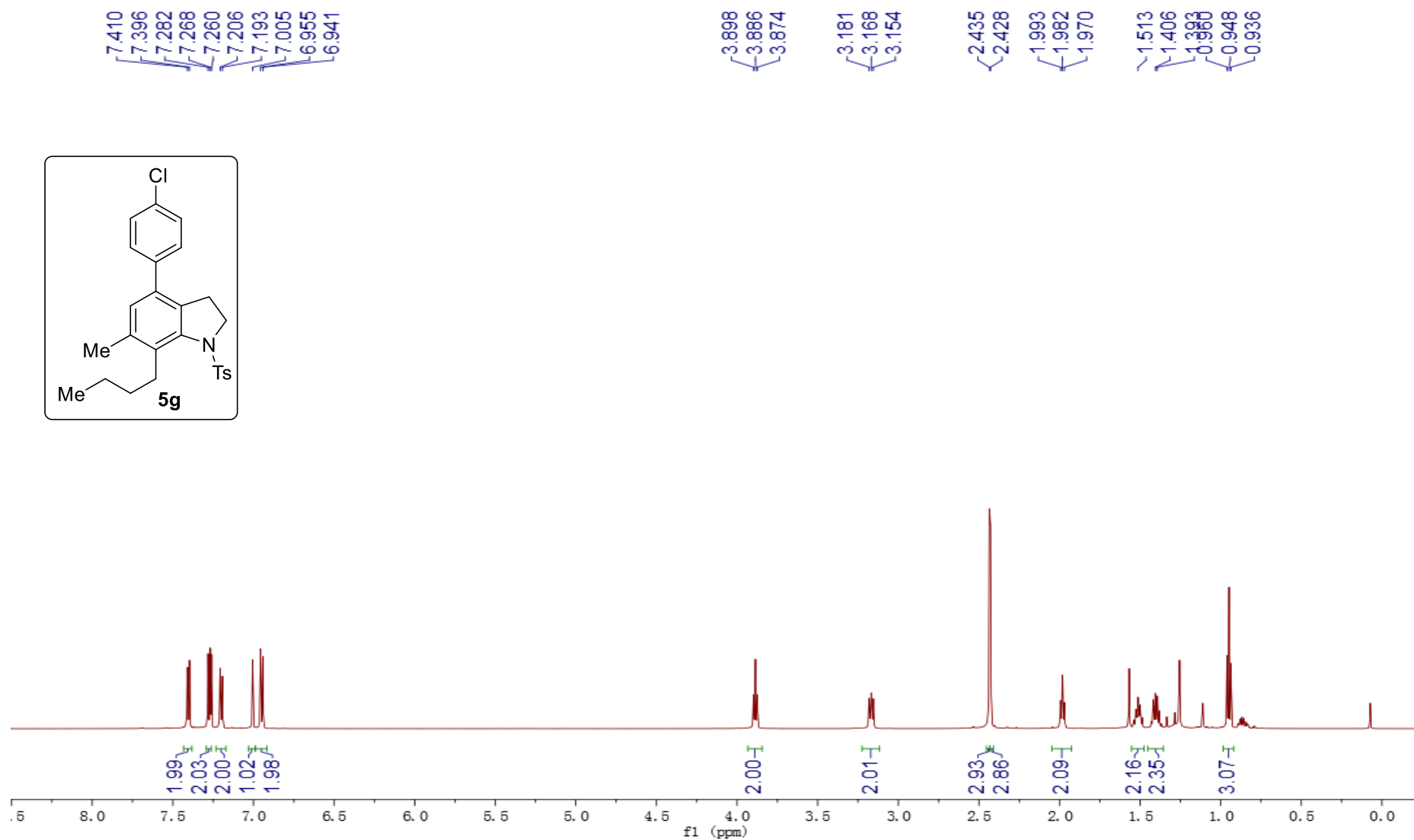
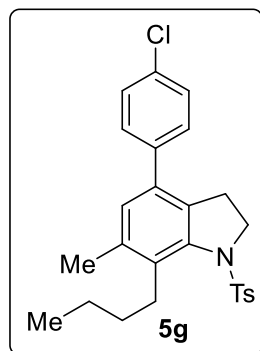
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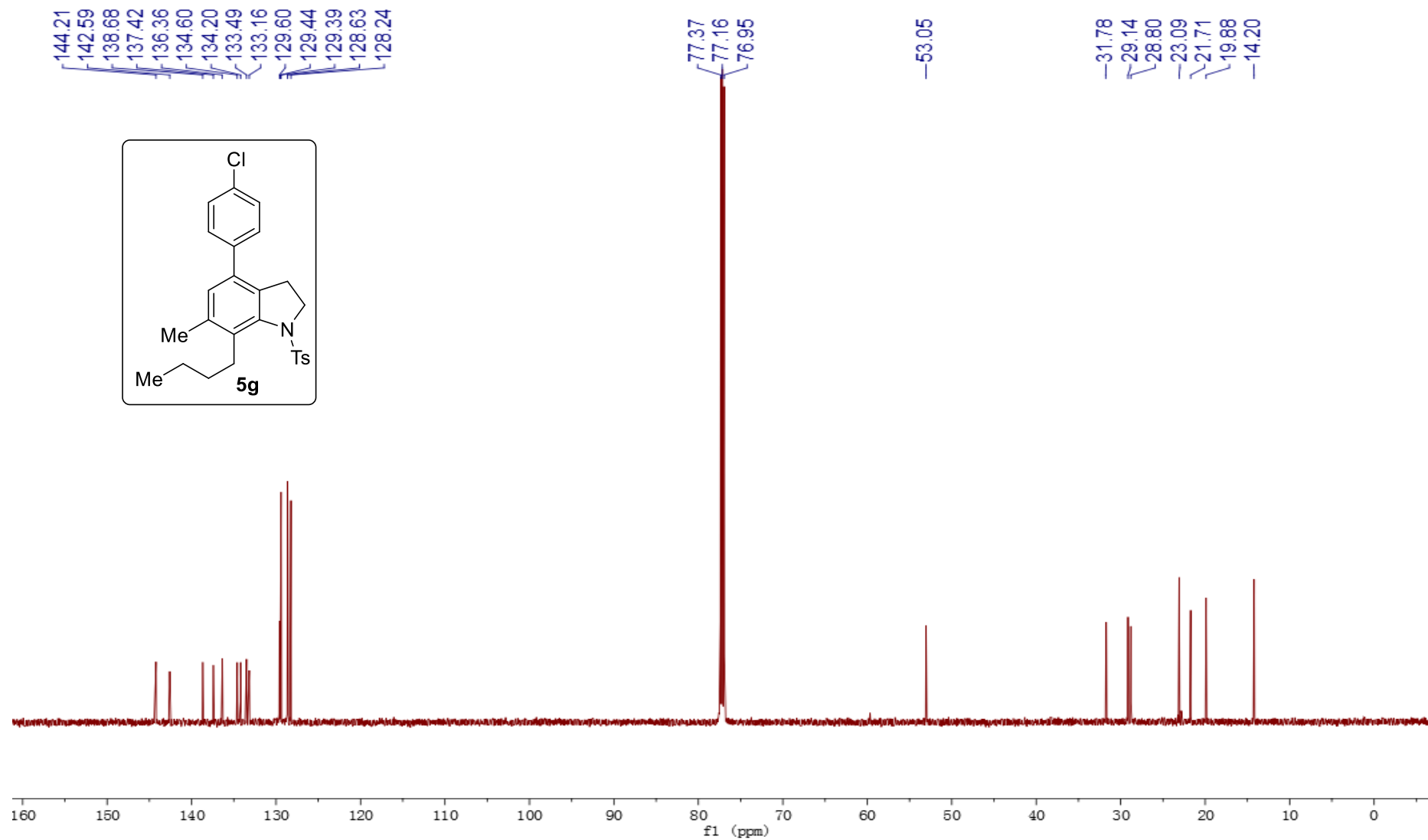
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26.07
21.69
18.48

-5.18



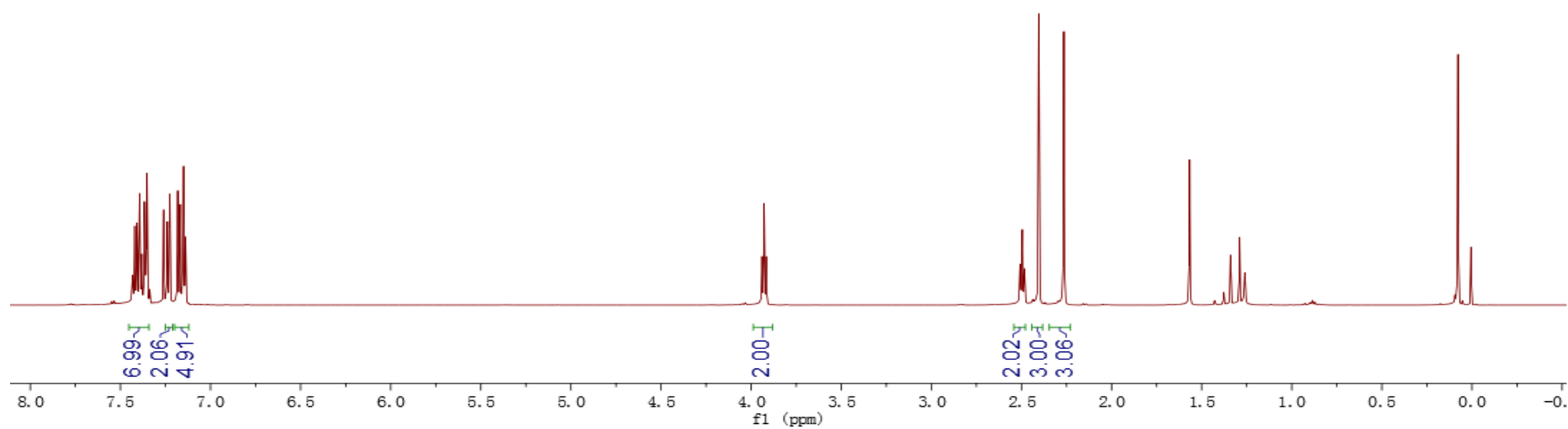
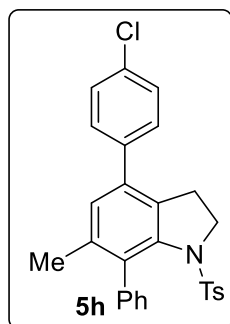




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2.265



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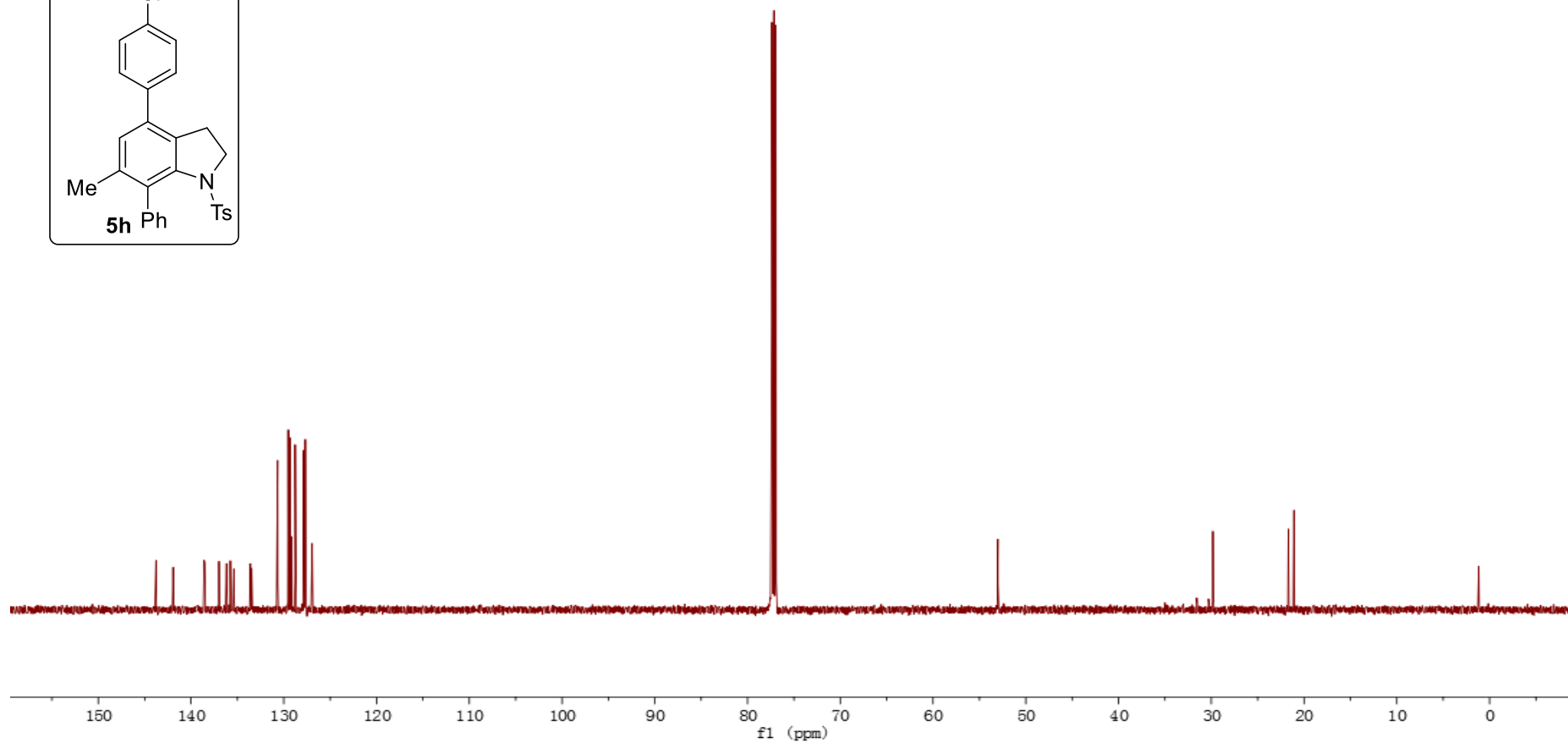
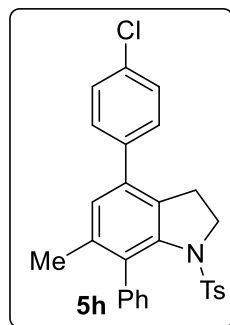
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53.00

29.83

21.69
21.09

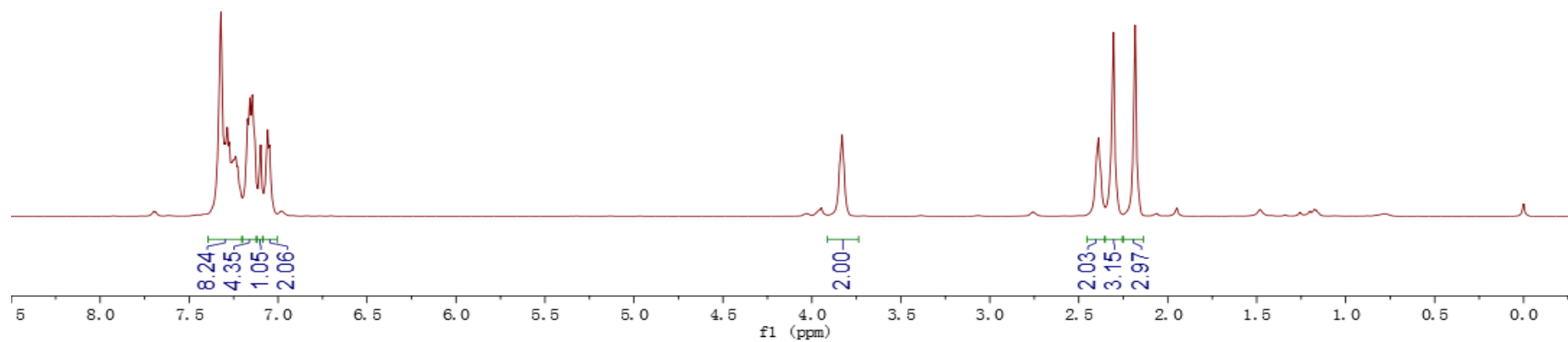
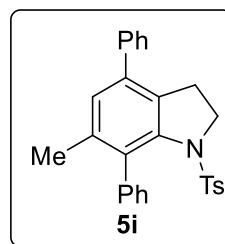
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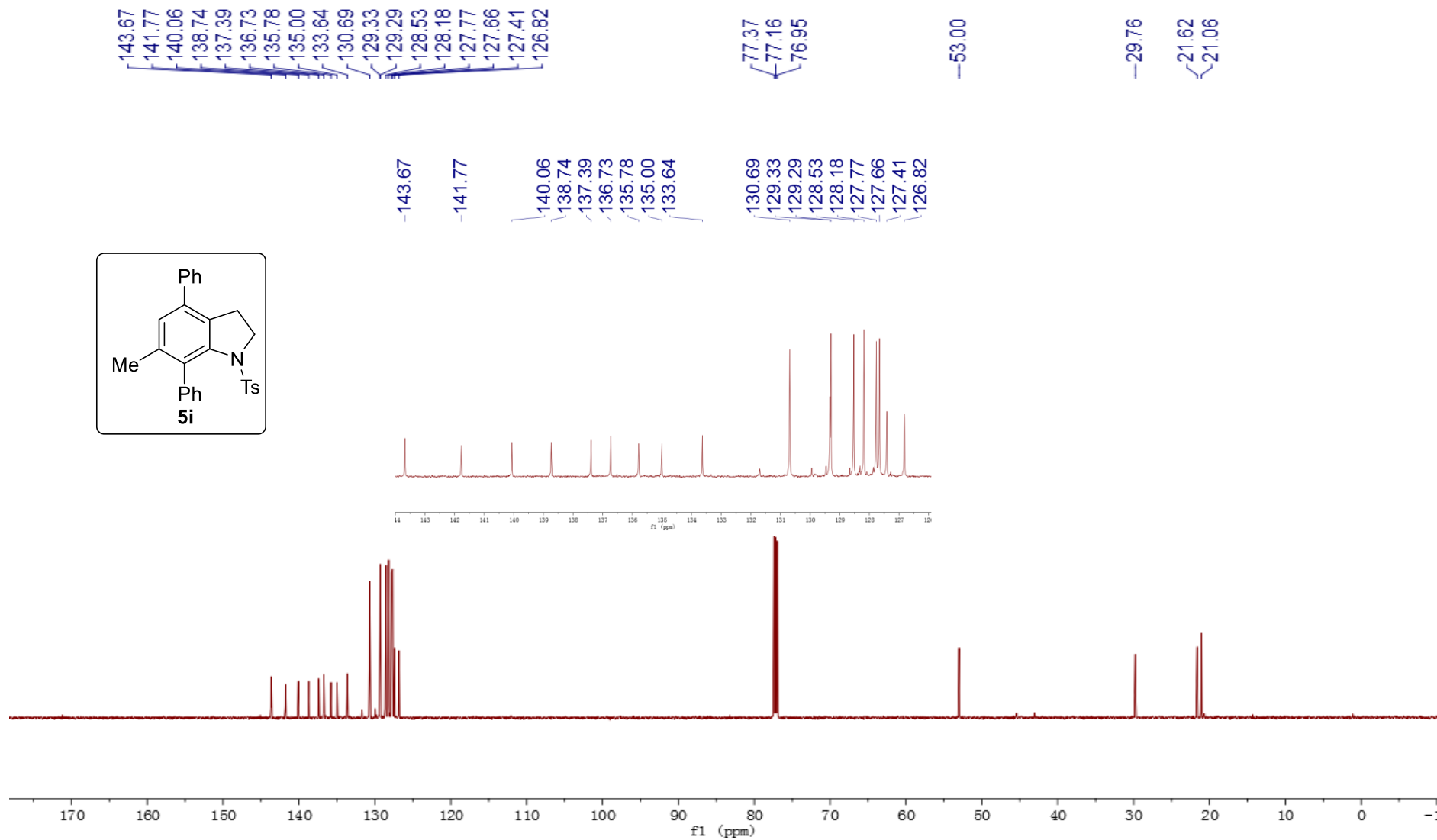
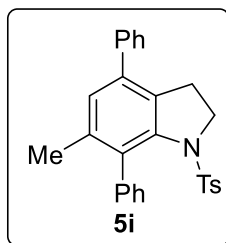


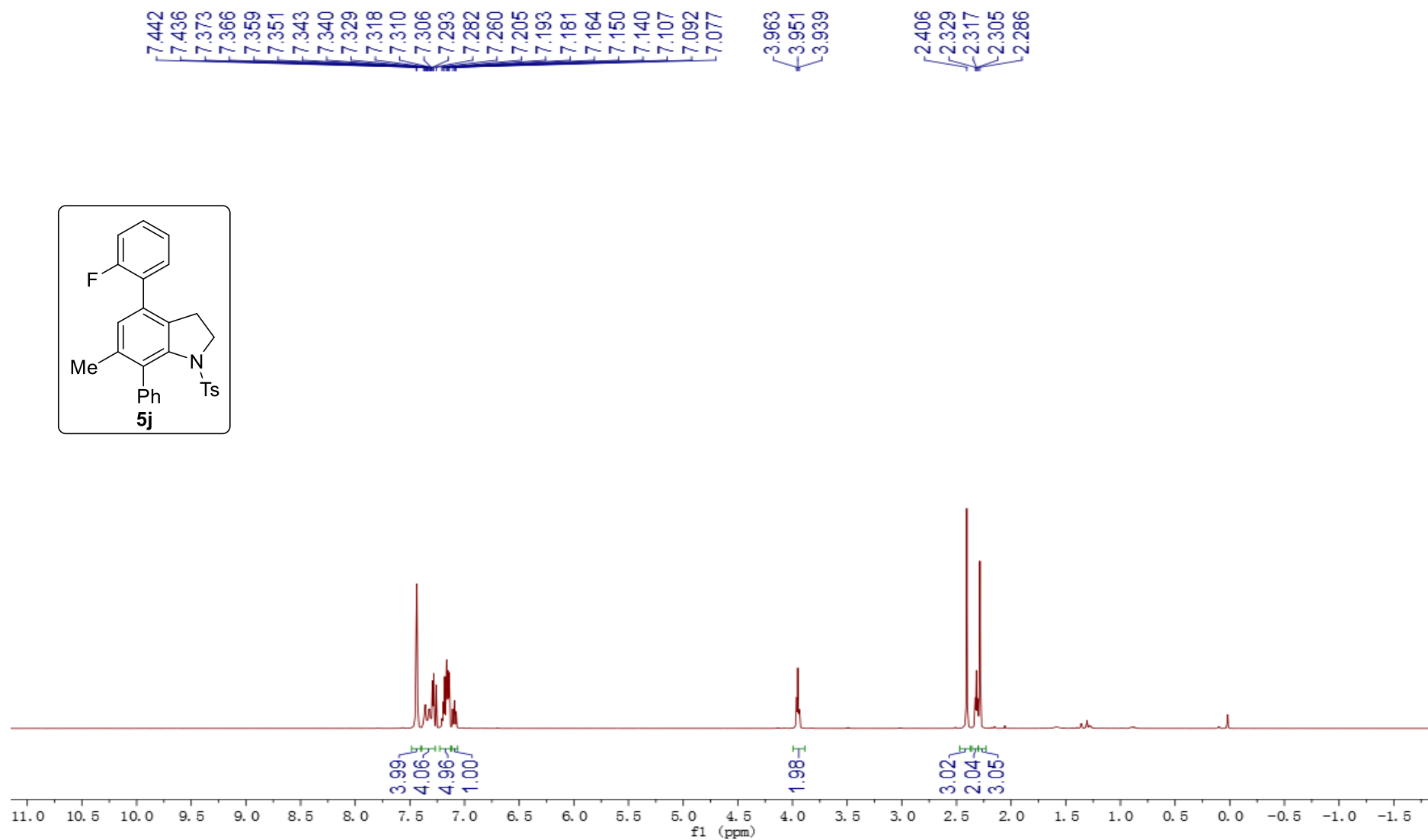
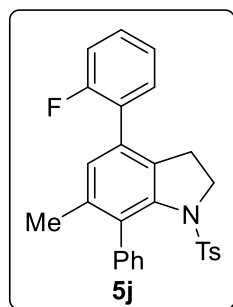
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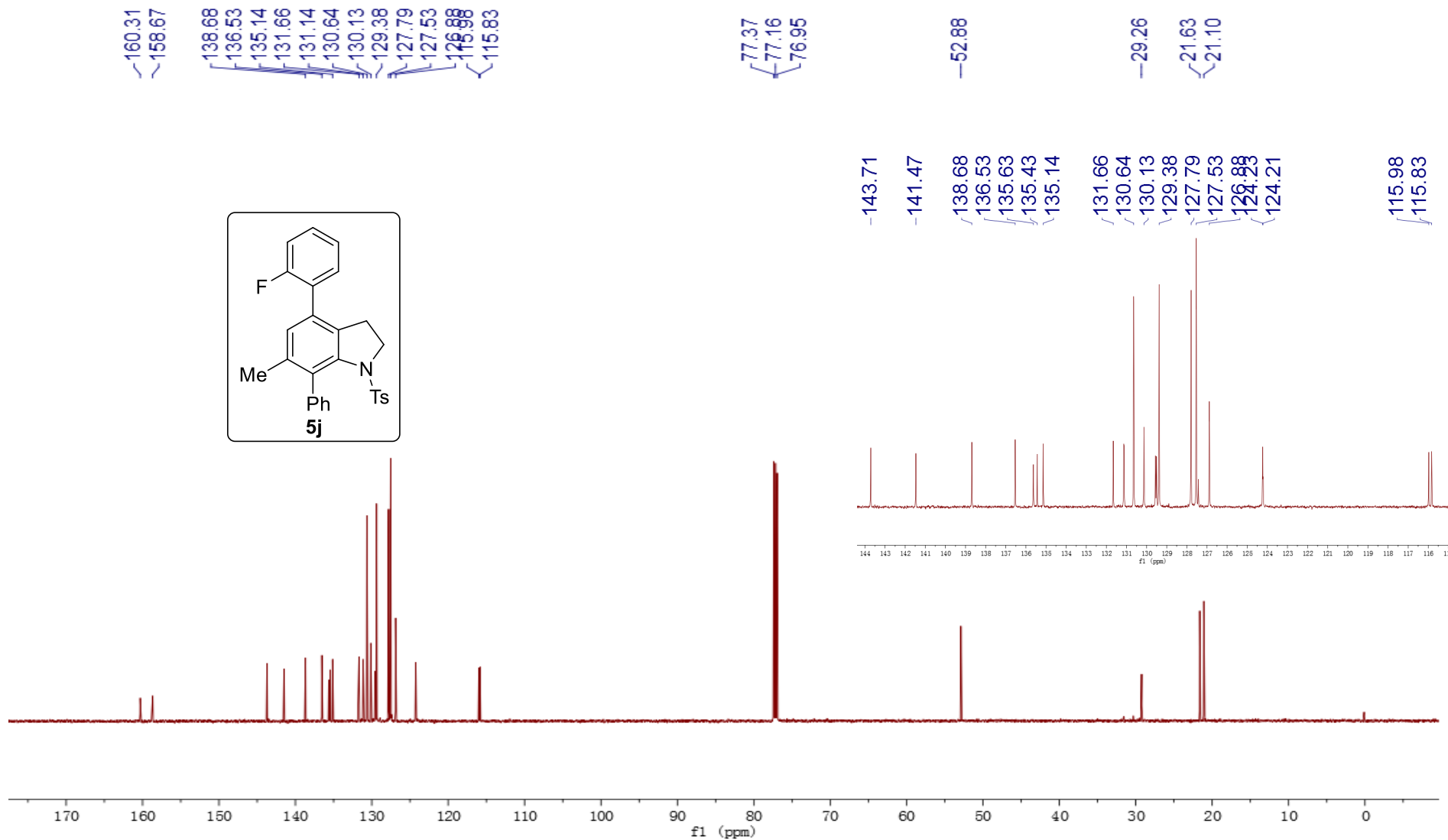
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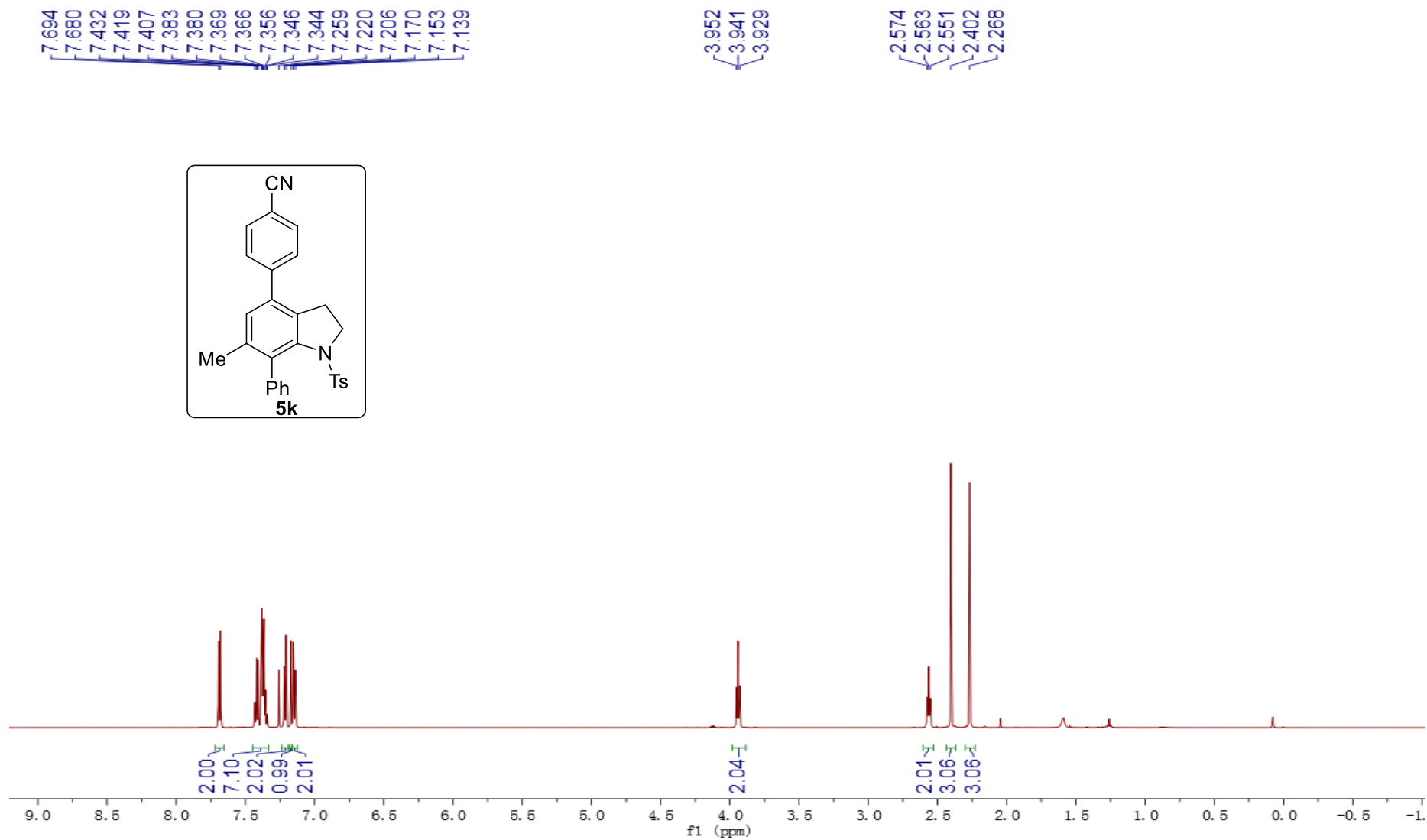
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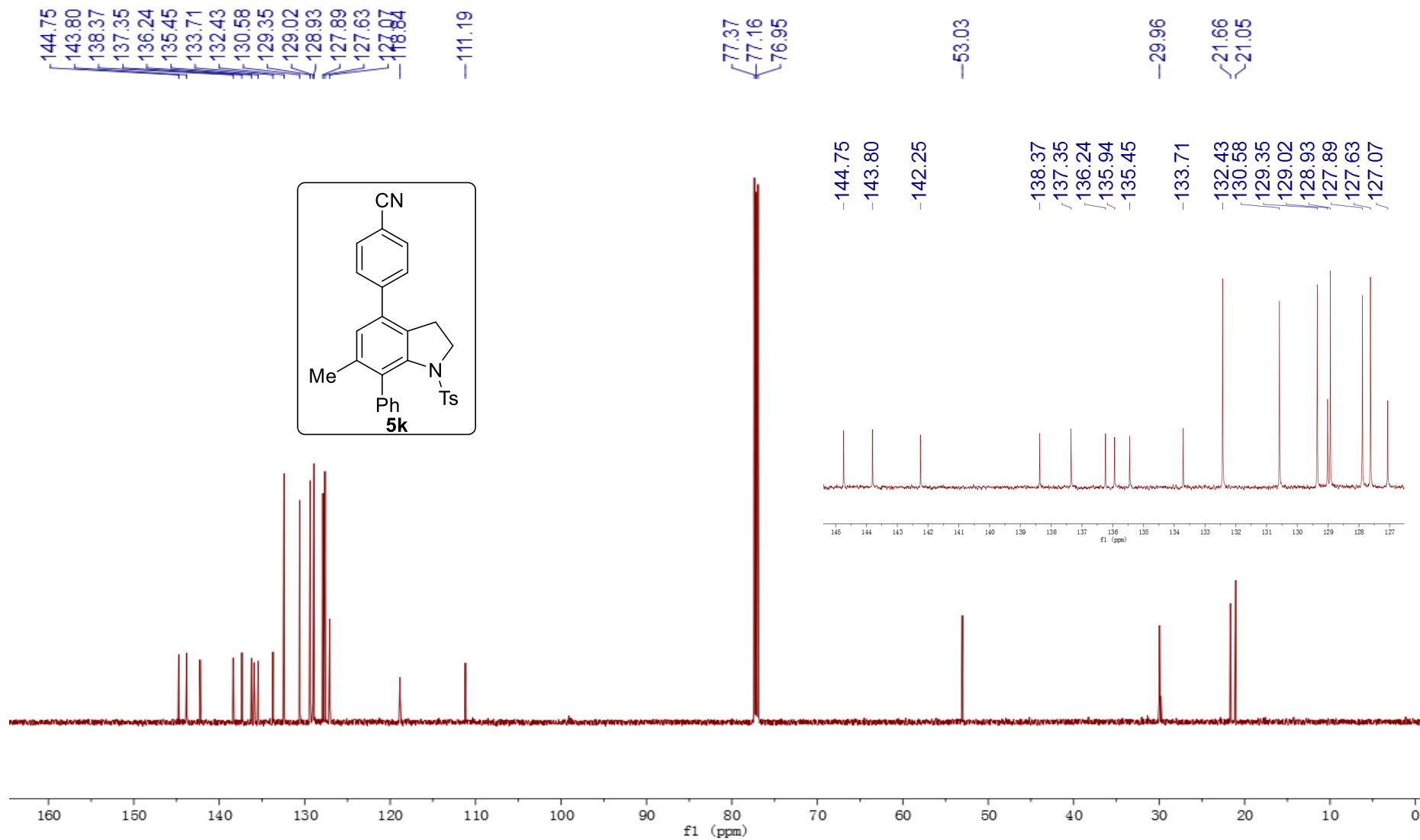












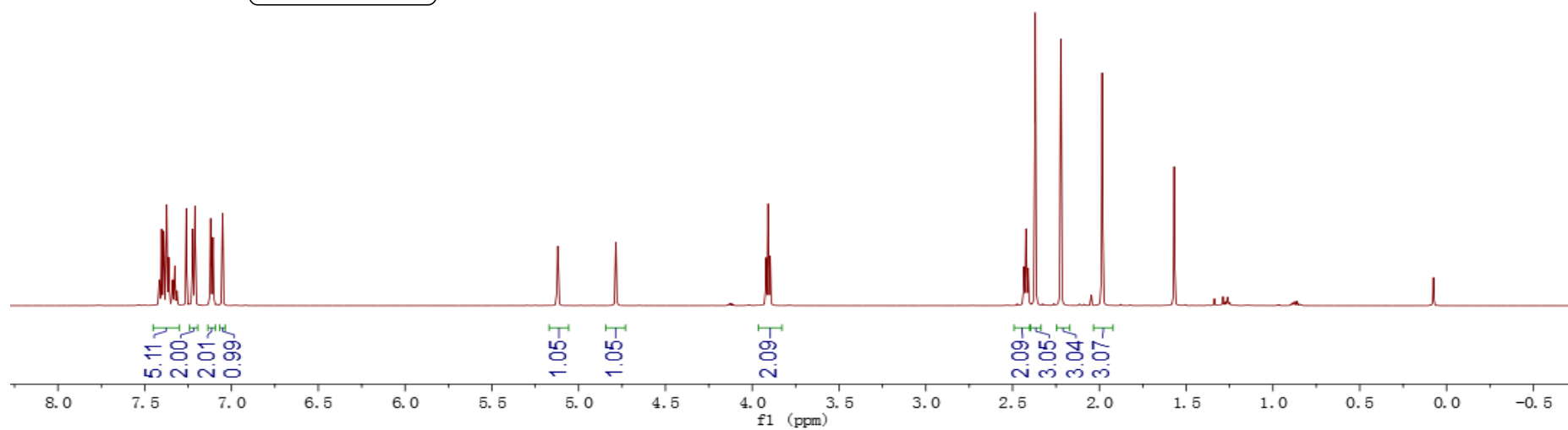
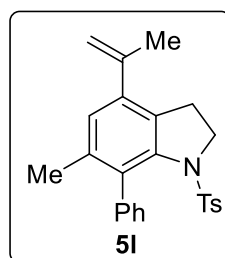
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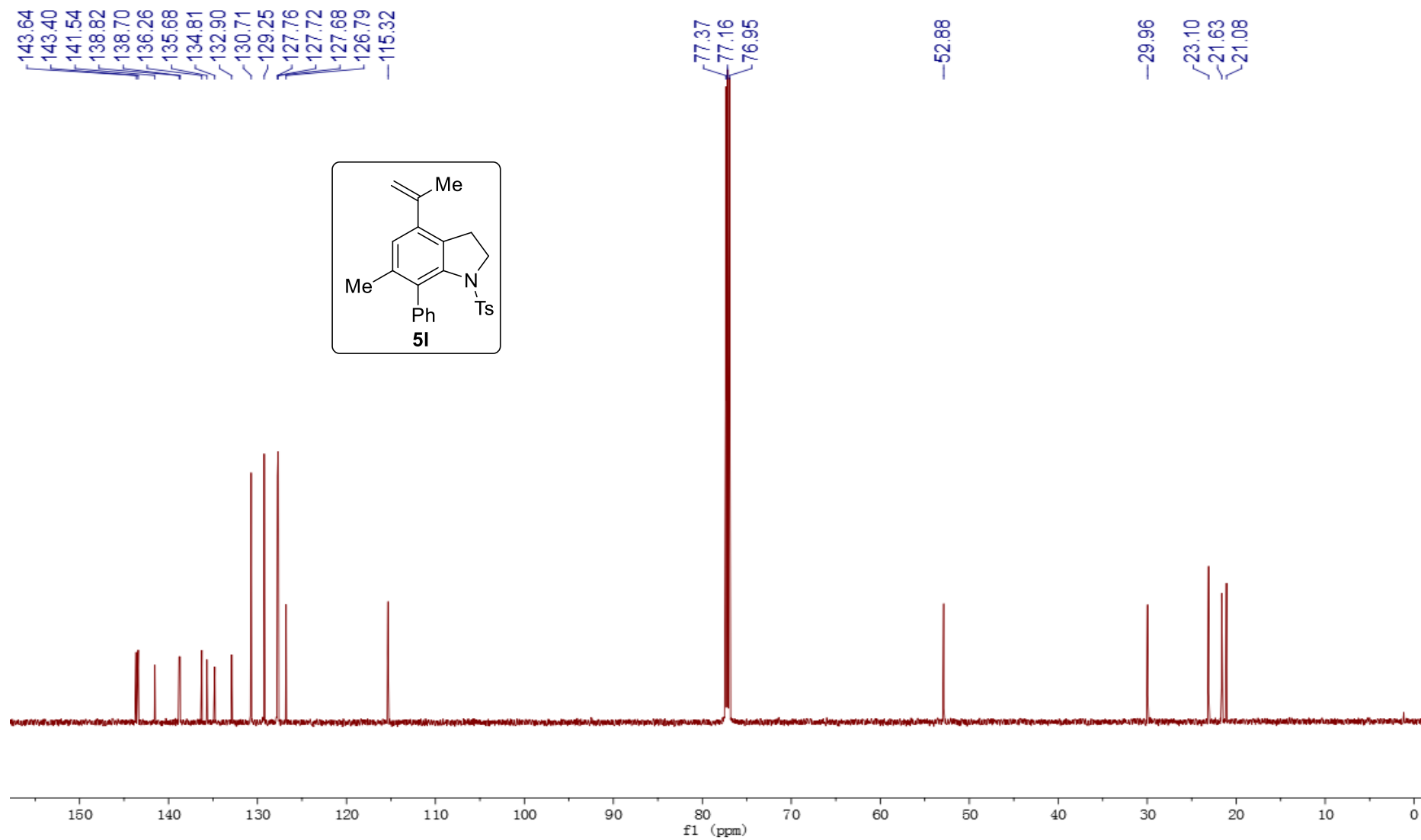
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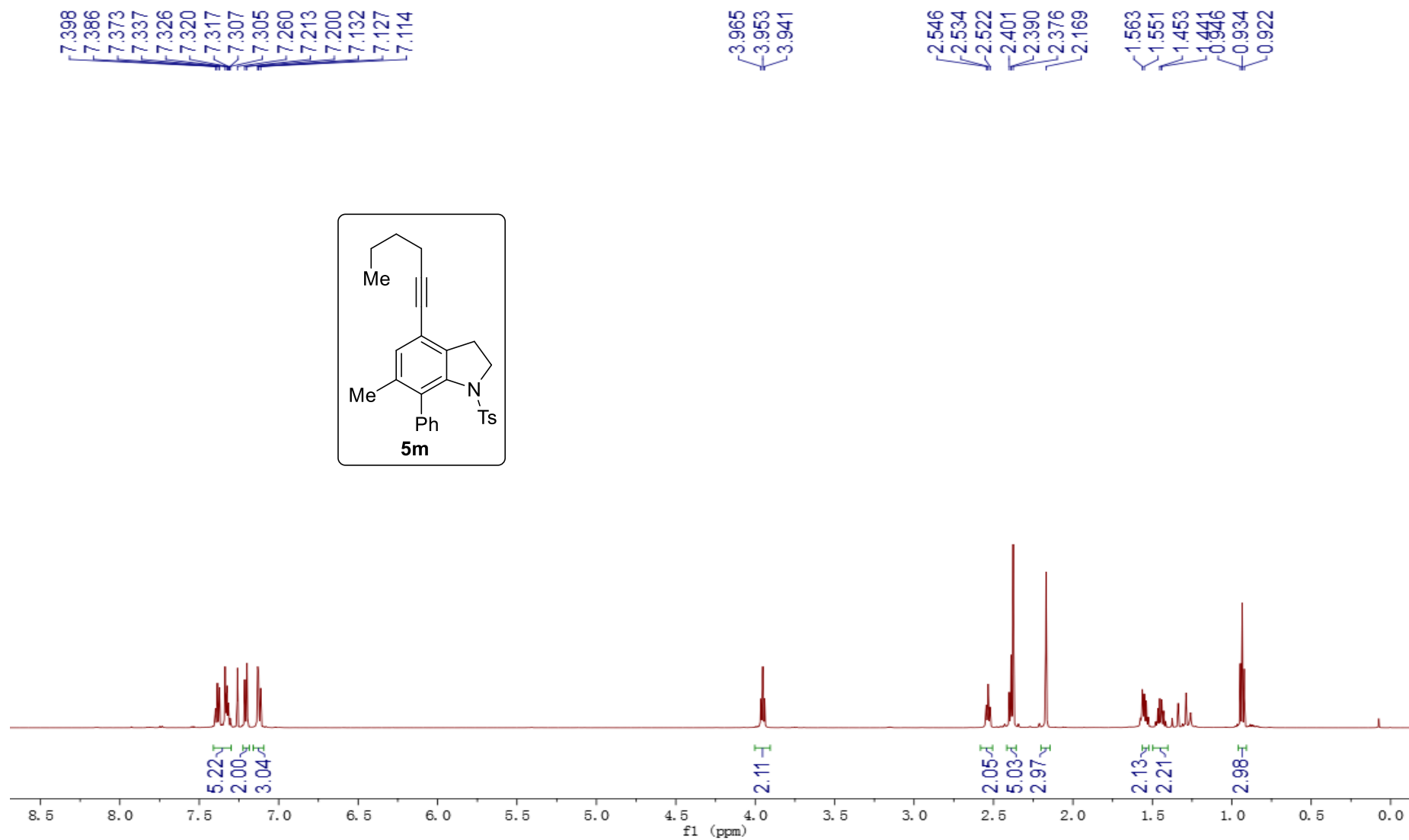
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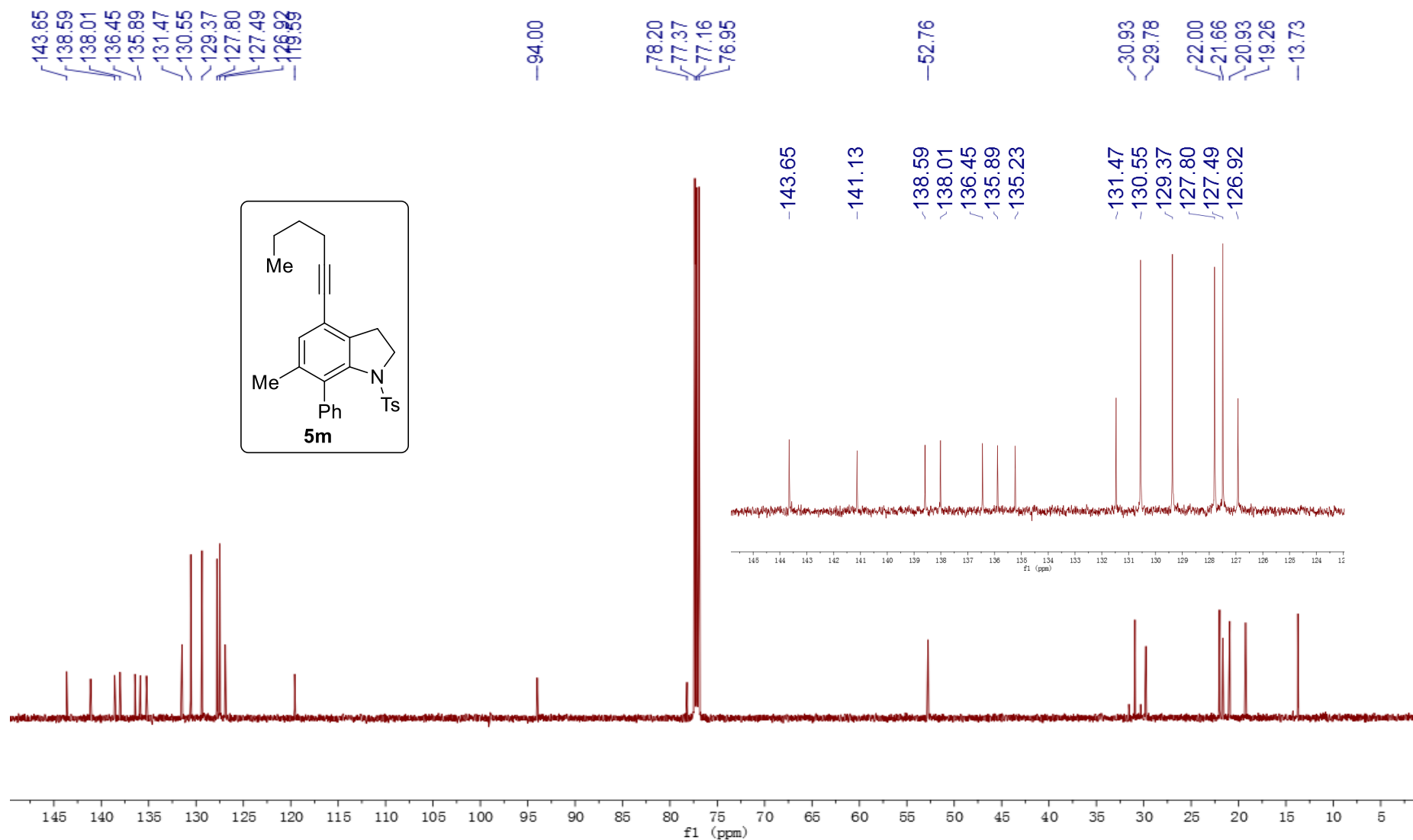
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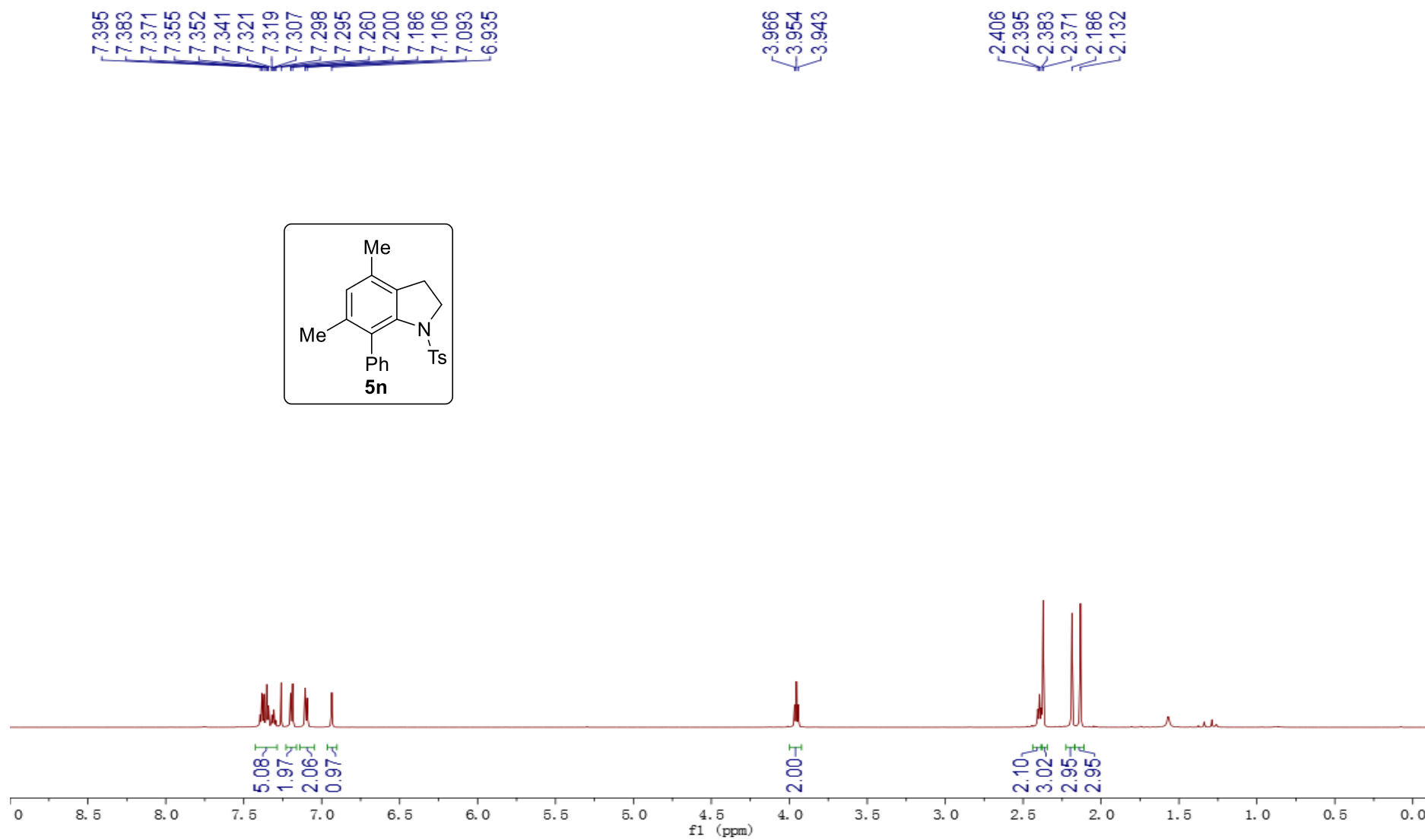
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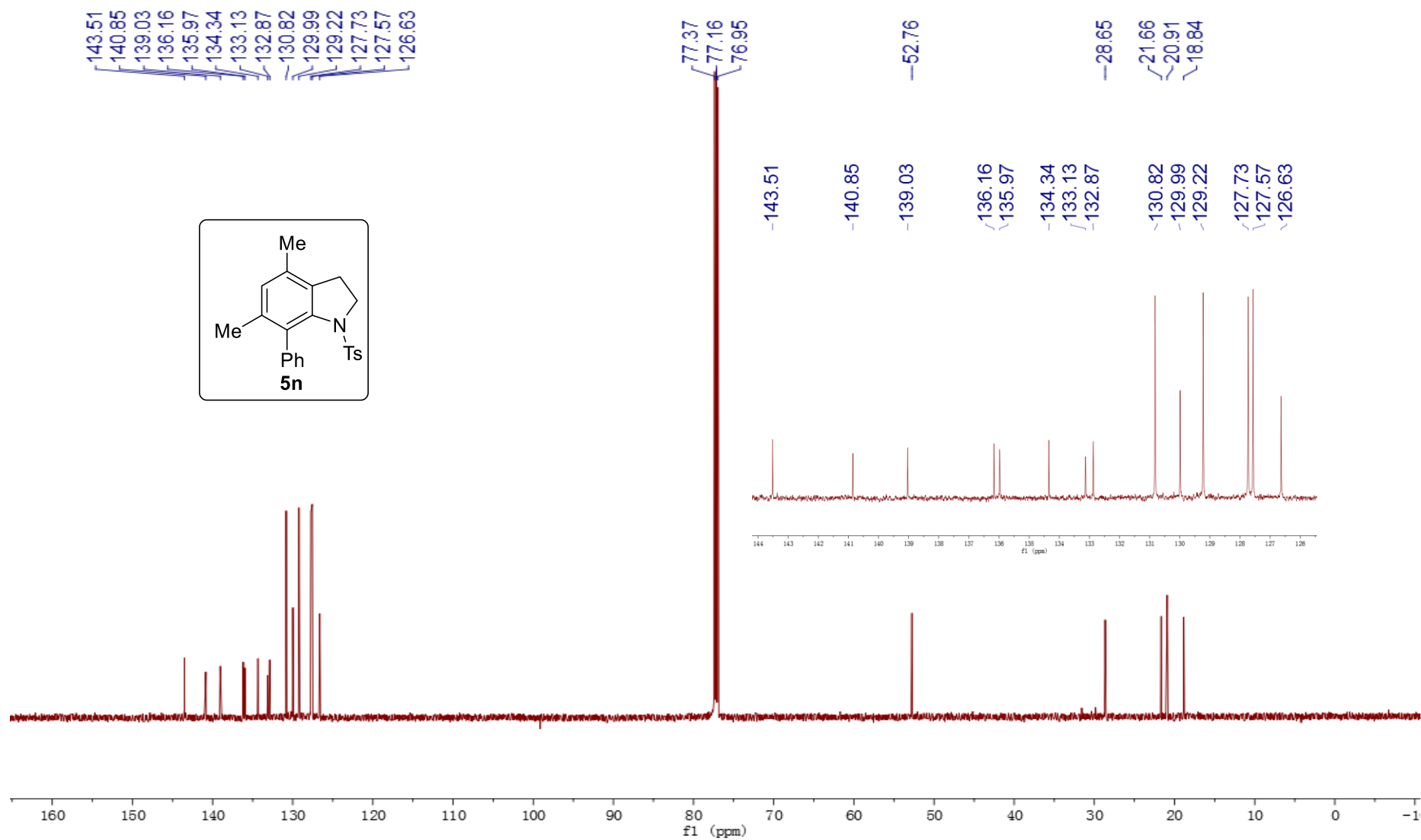
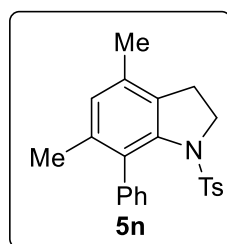


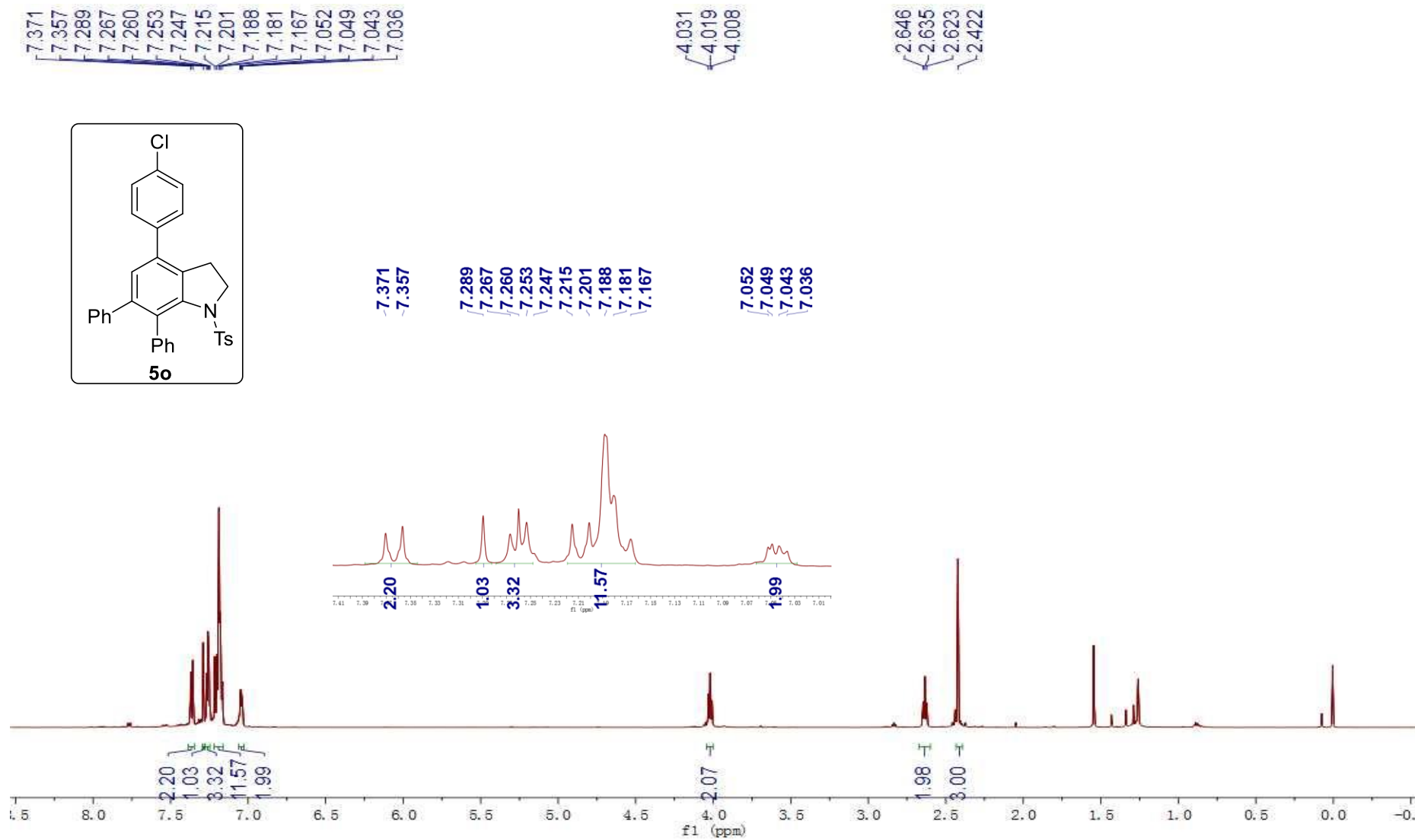
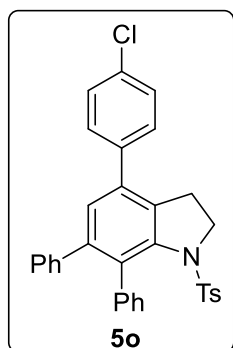


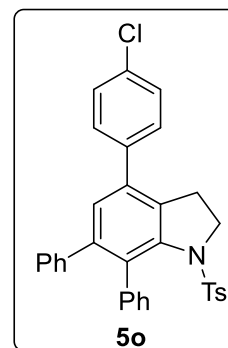
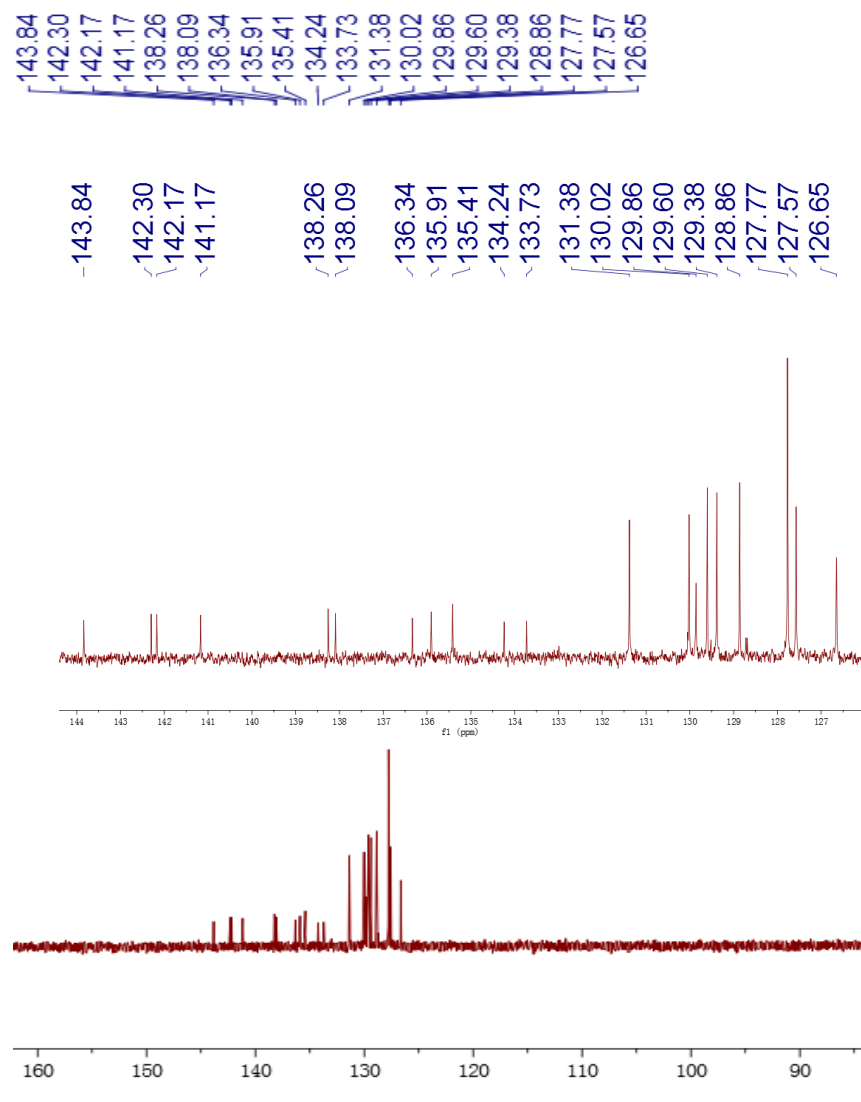


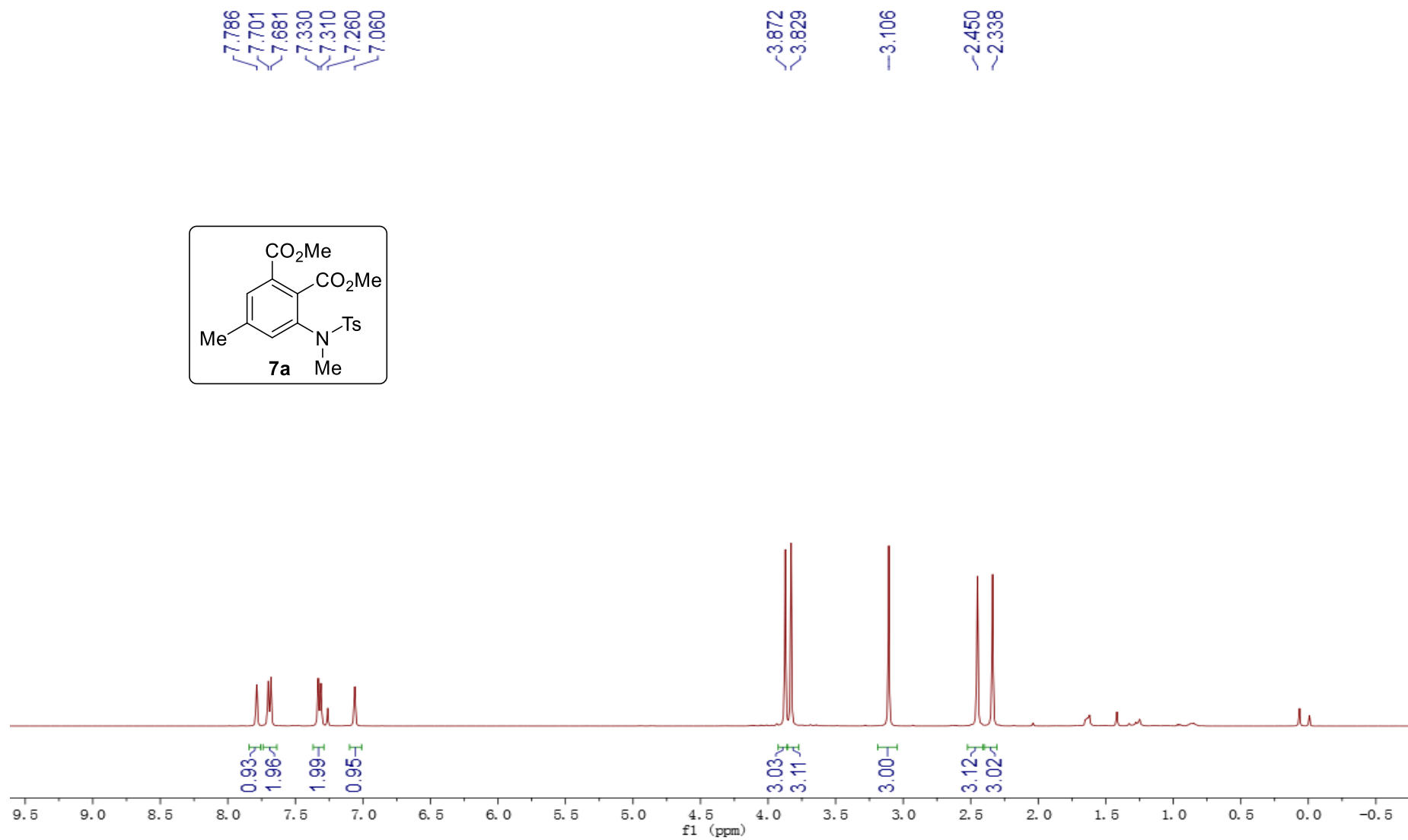


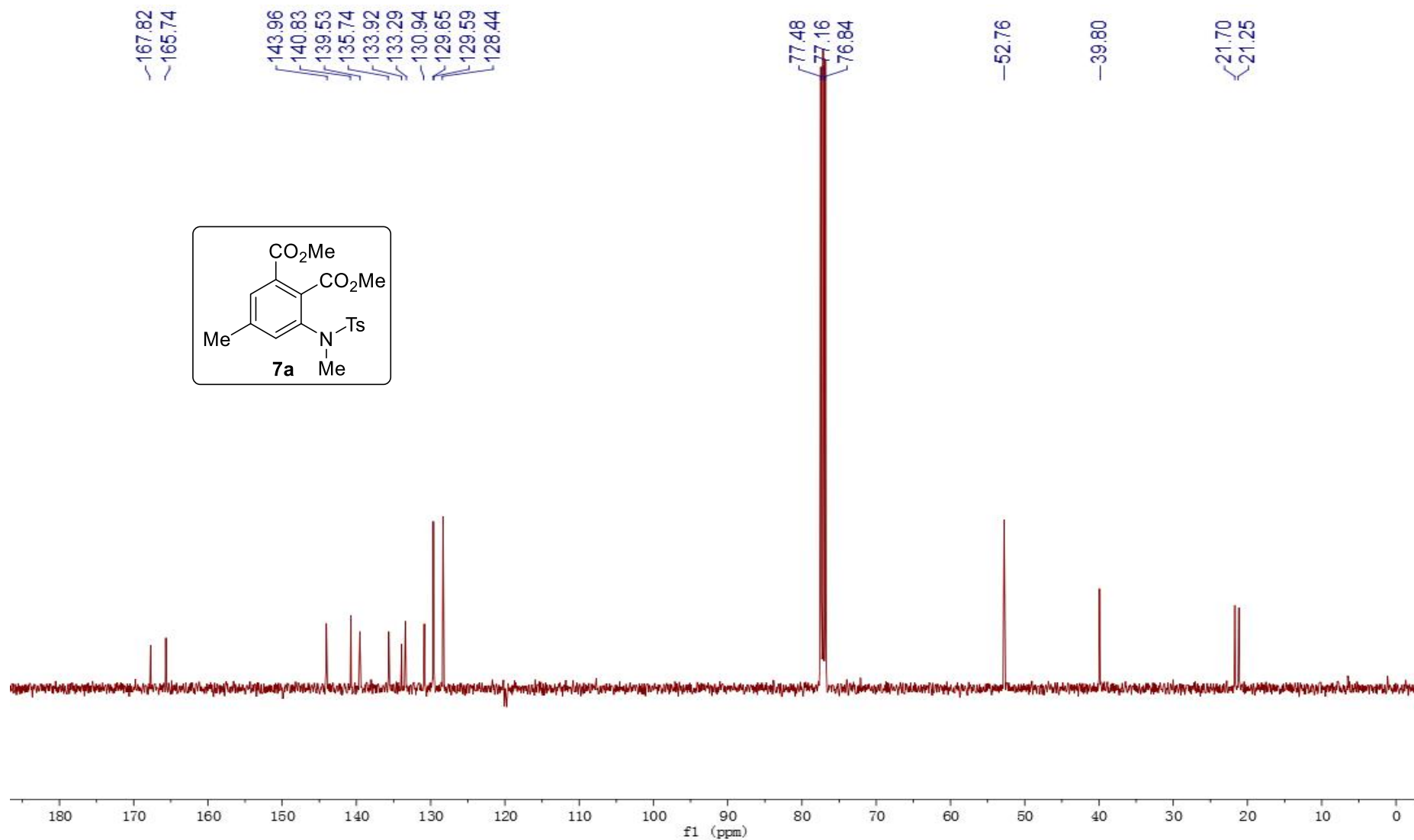
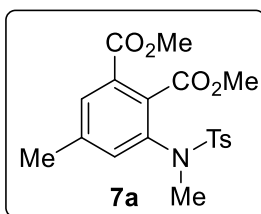


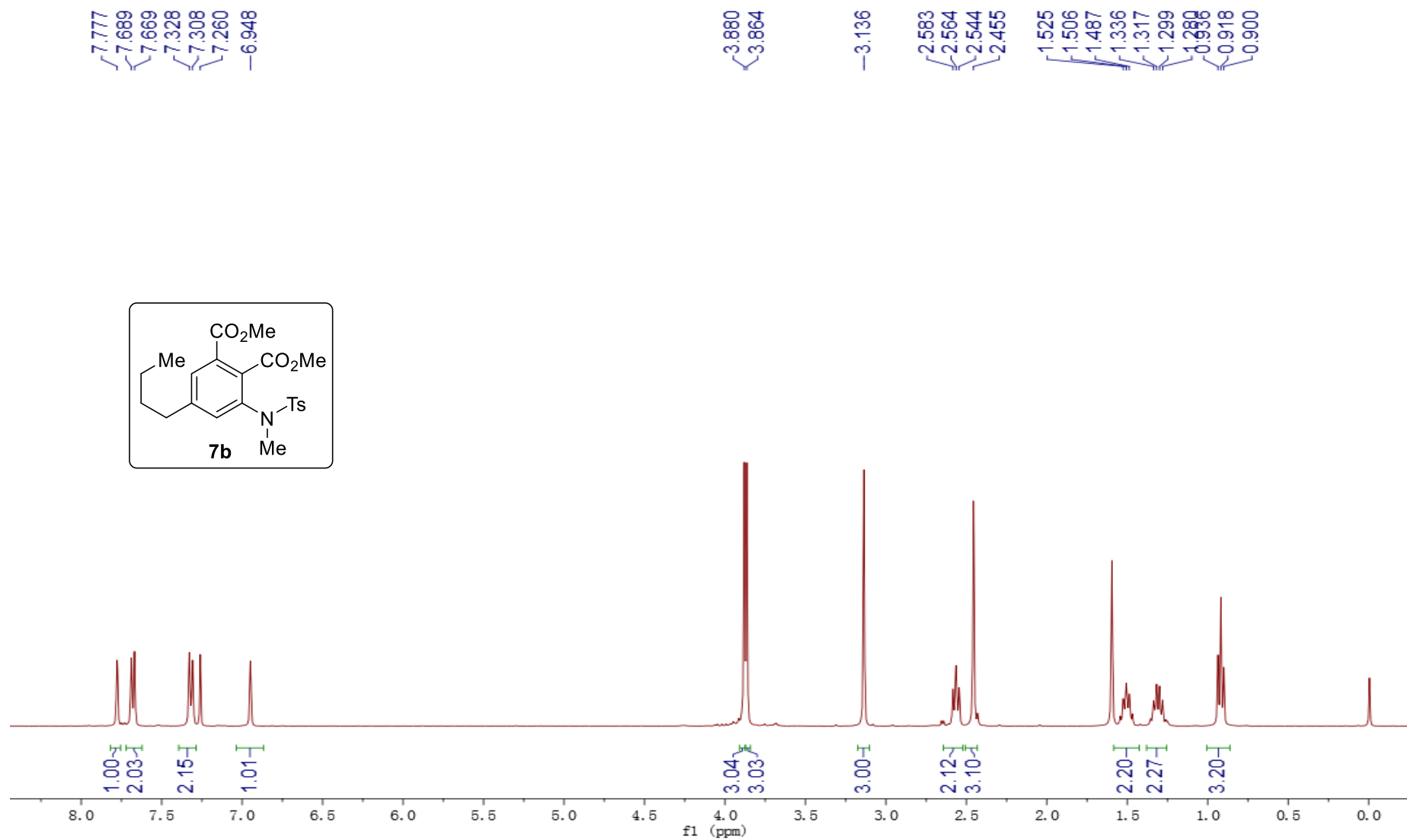
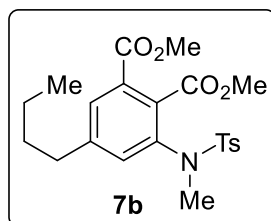


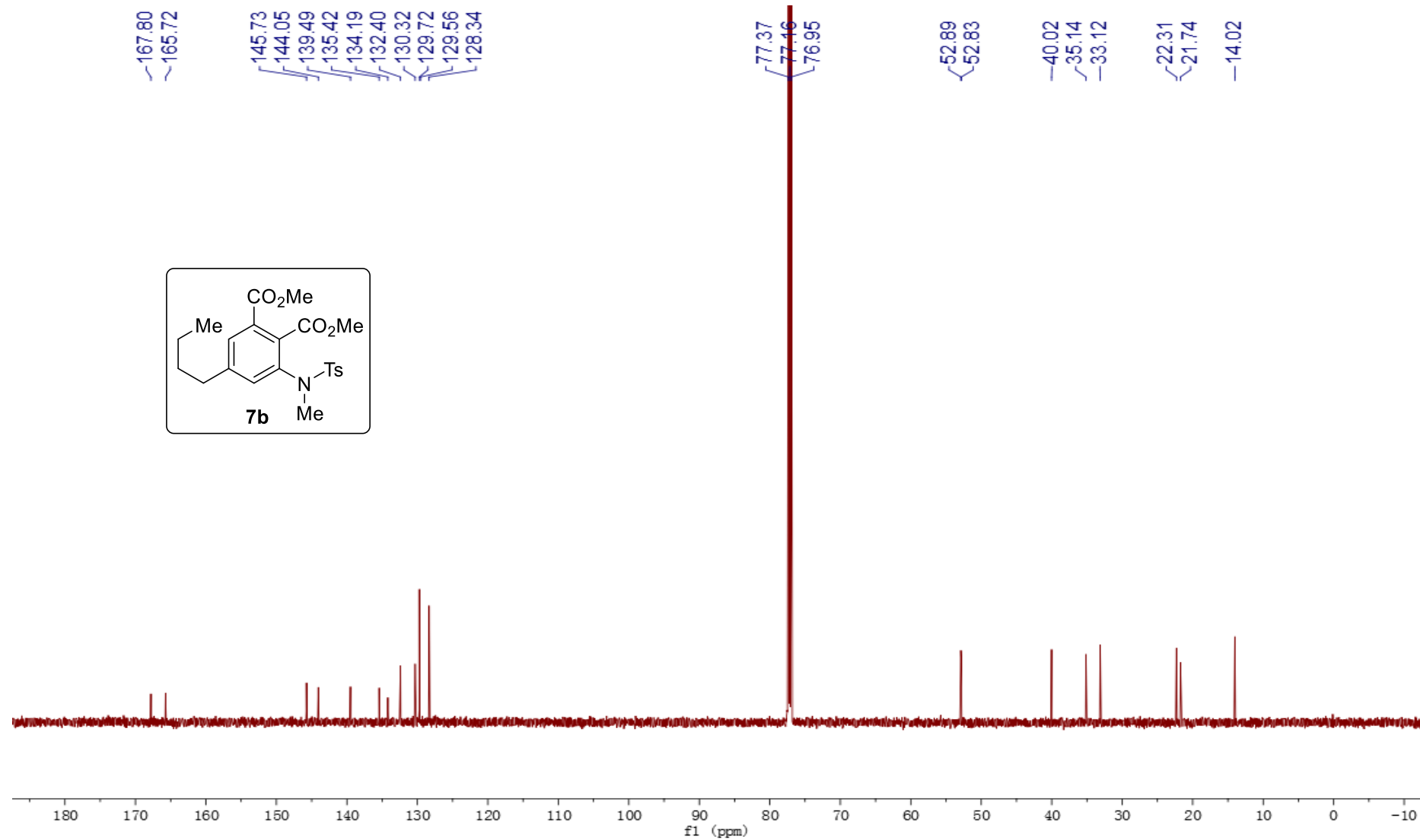
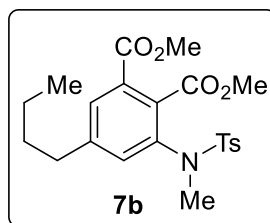


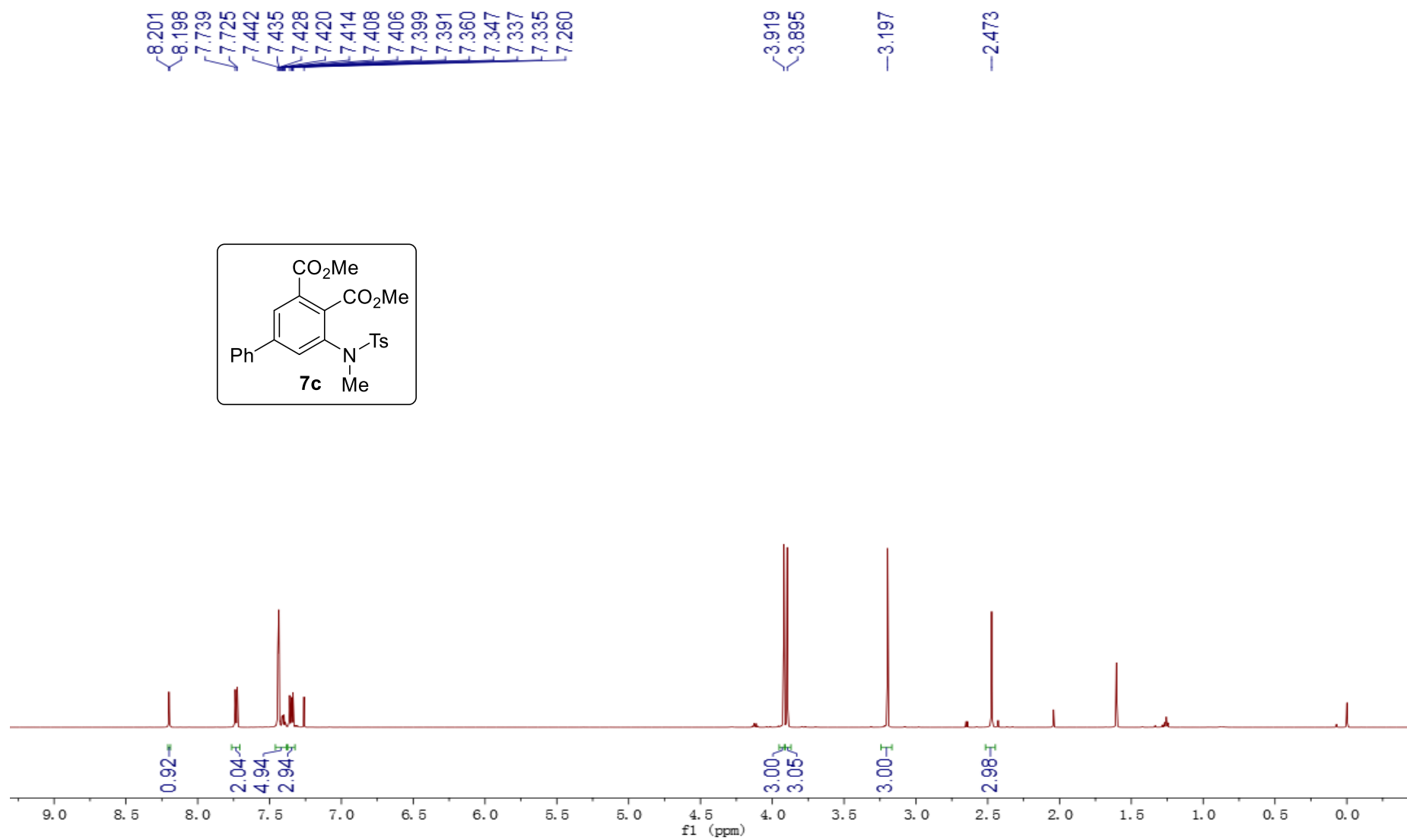


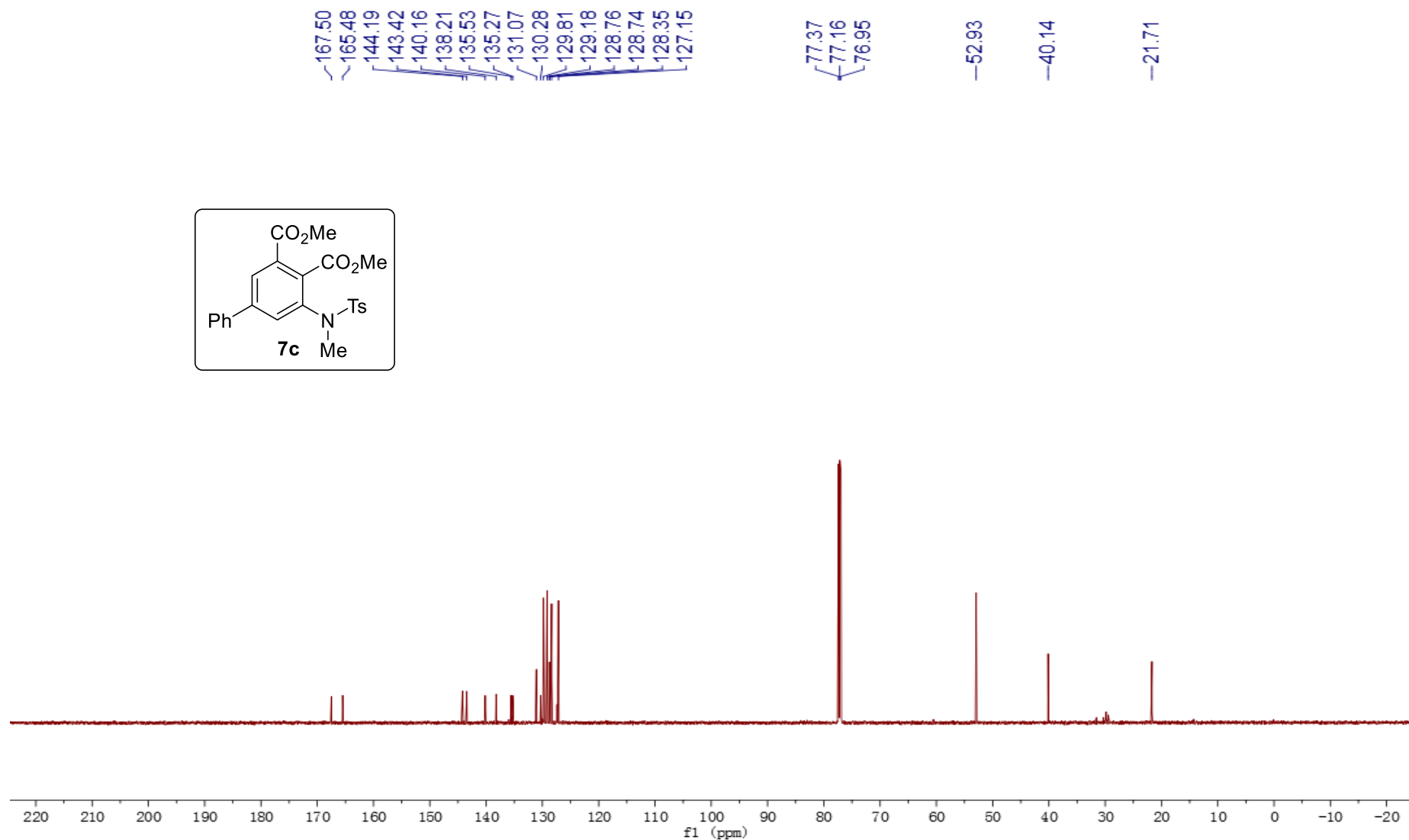
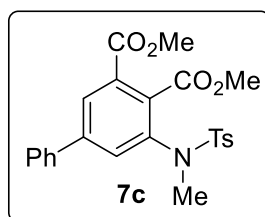


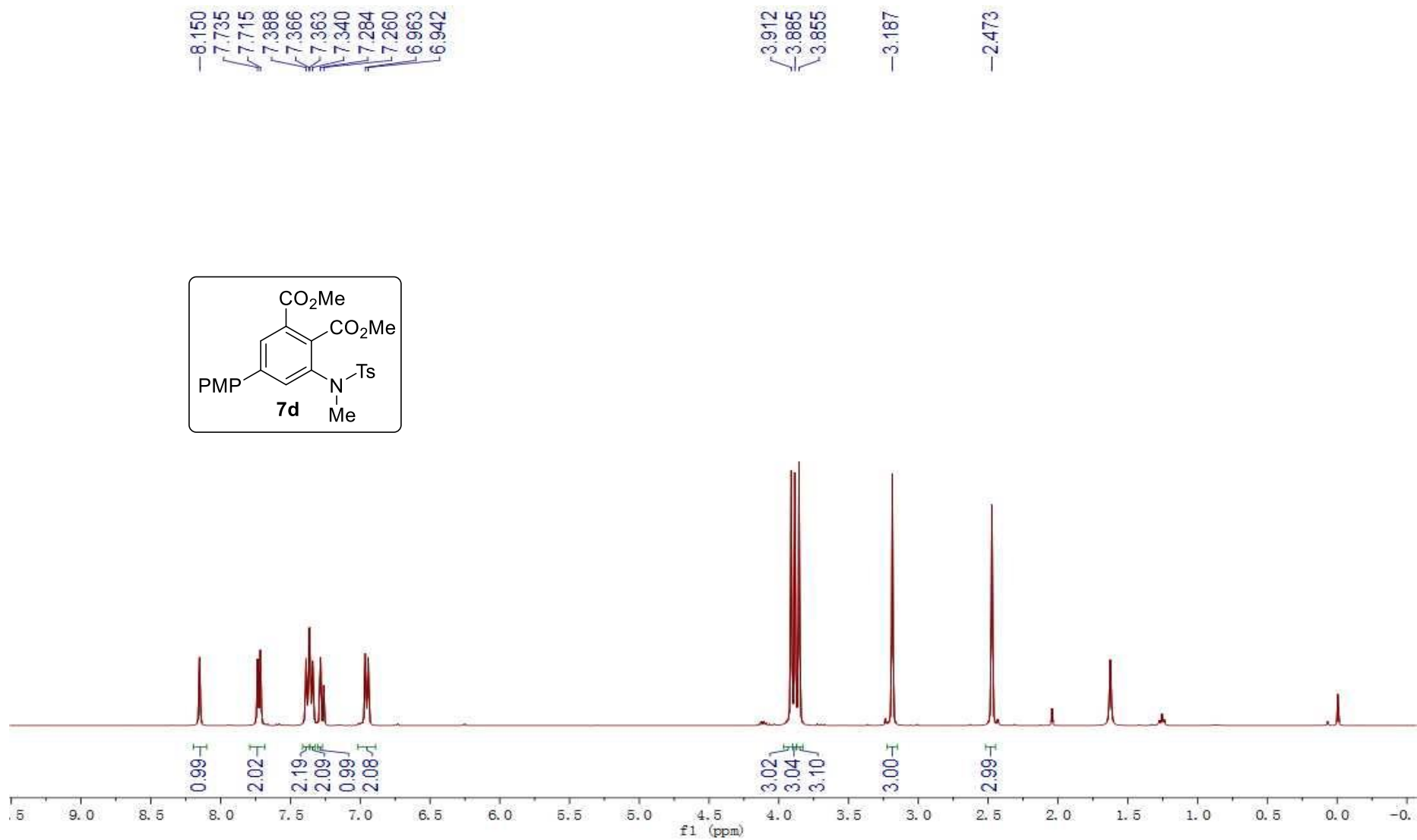


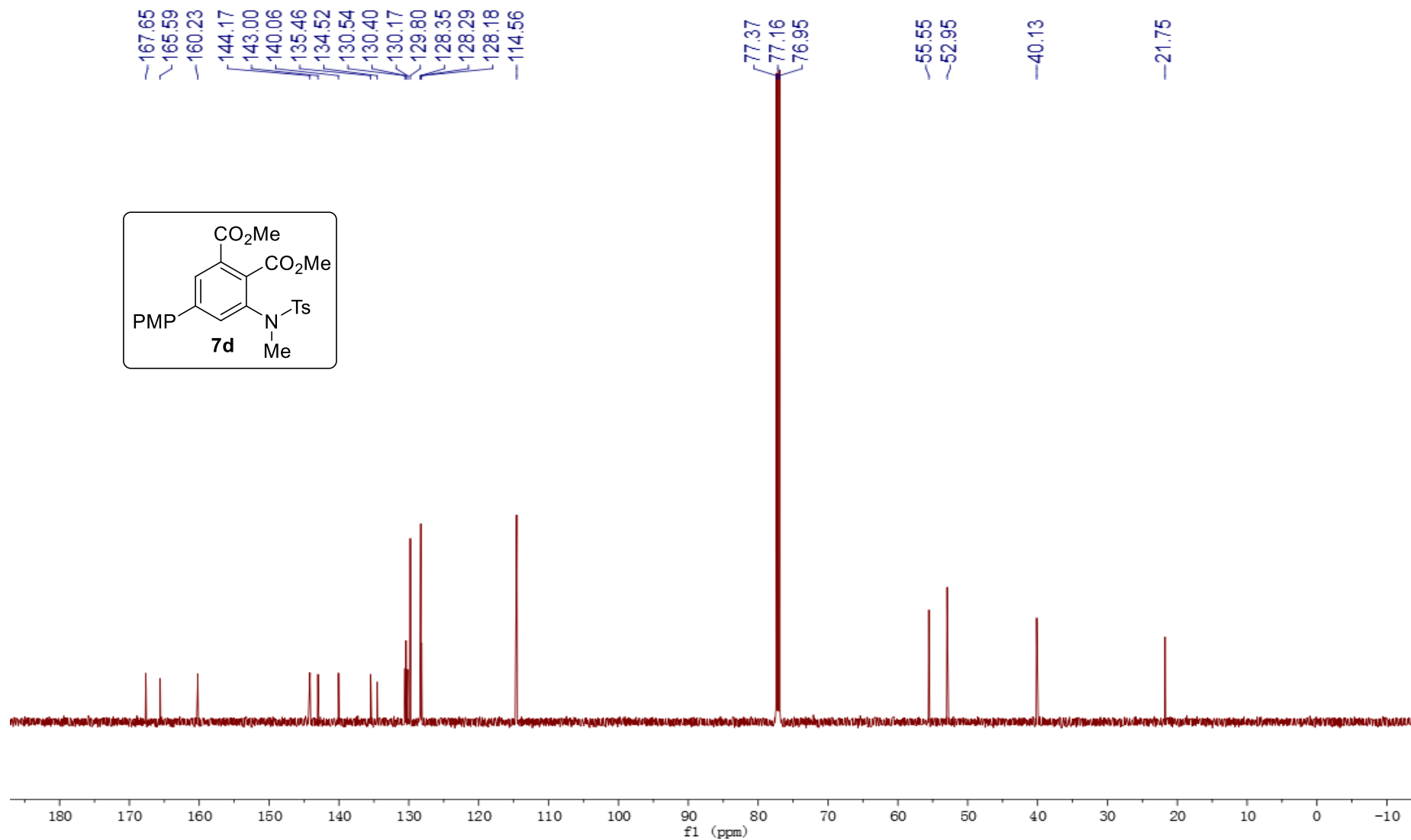
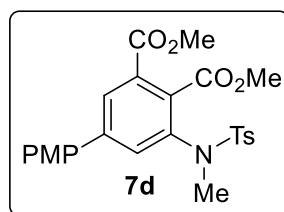


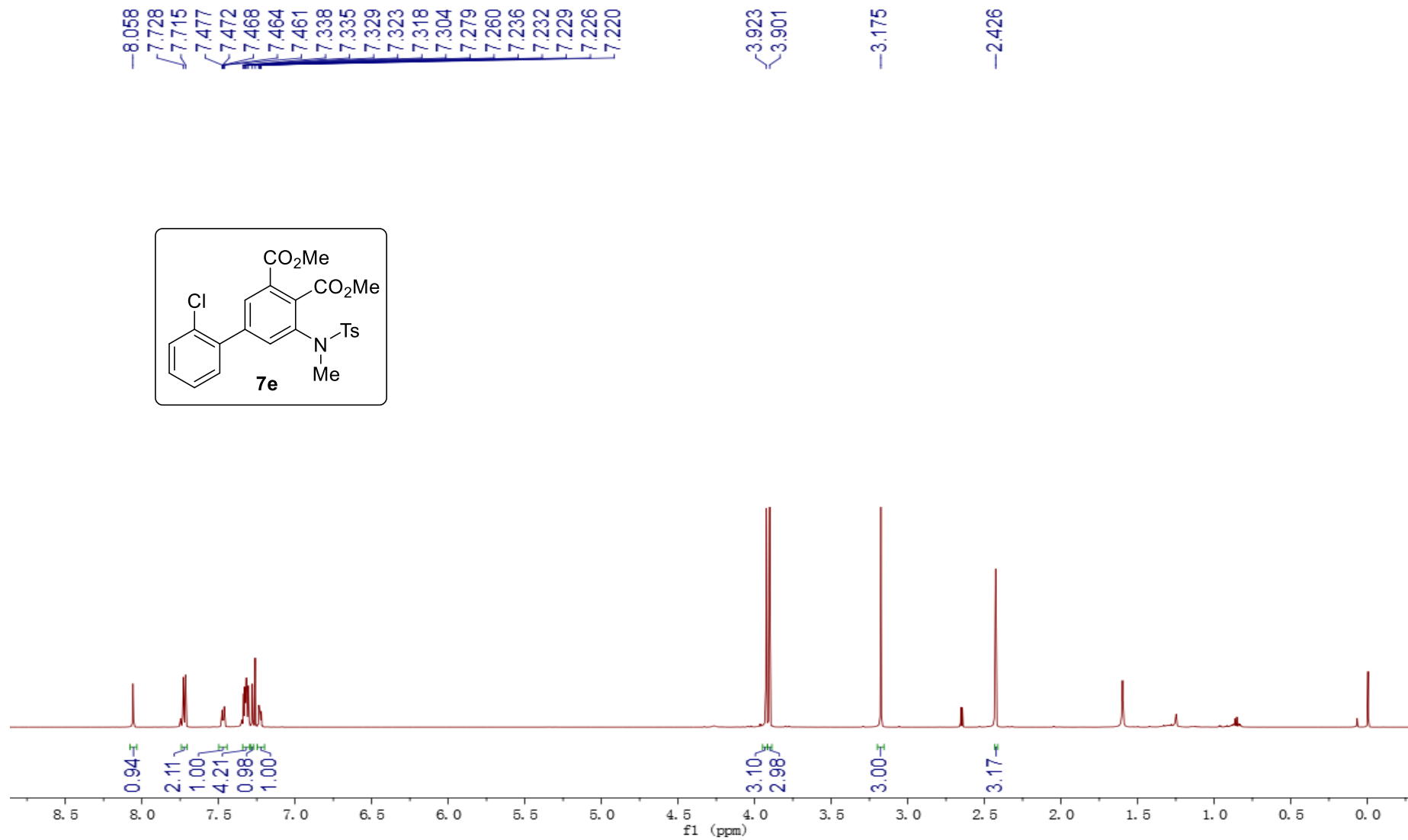


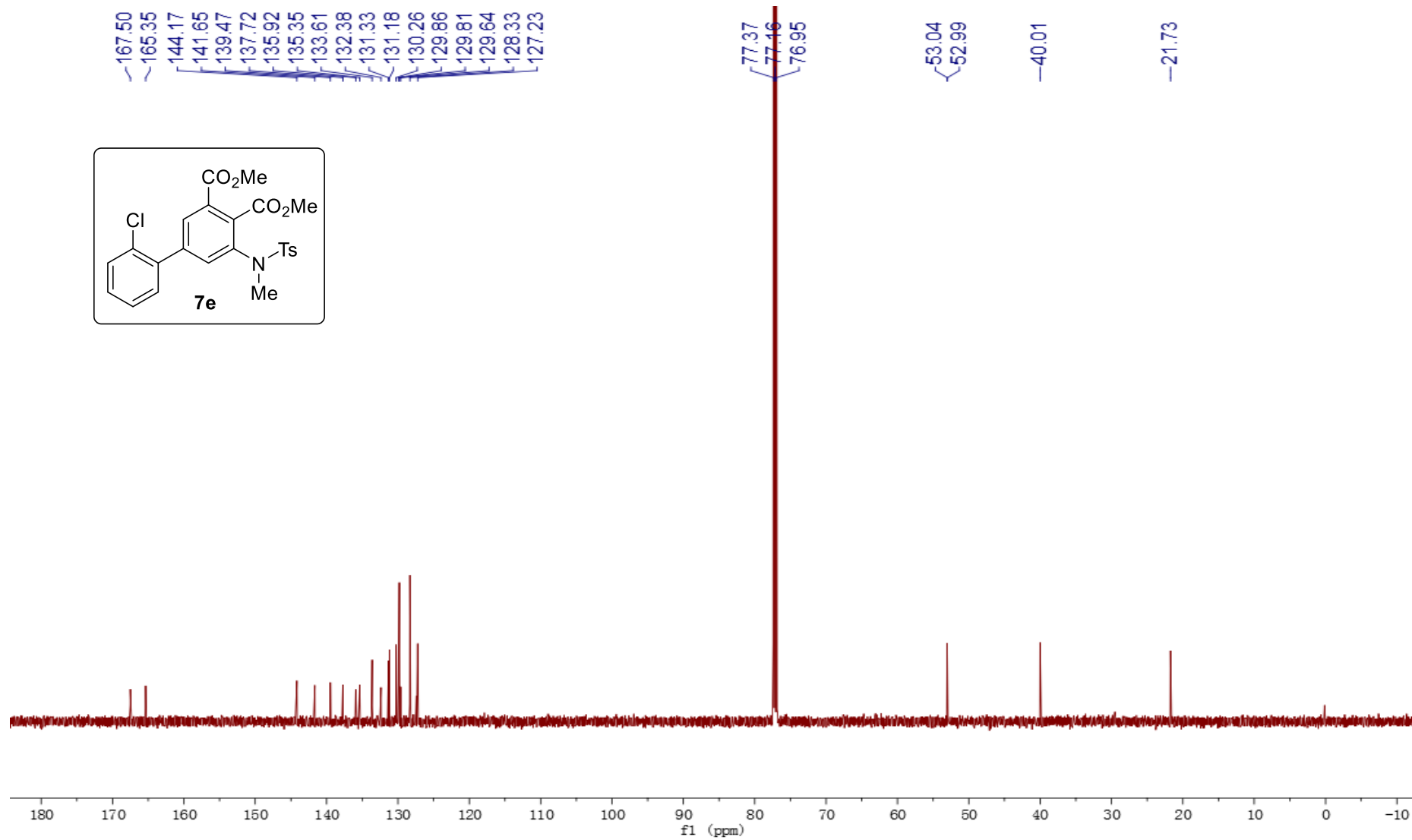
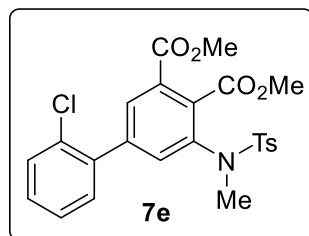












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2.371

