

Supporting Information for

Single Reactant Replacement Approach of Passerini Reaction: One-Pot Synthesis of β -Acyloxy Amides and Phthalides

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Contents

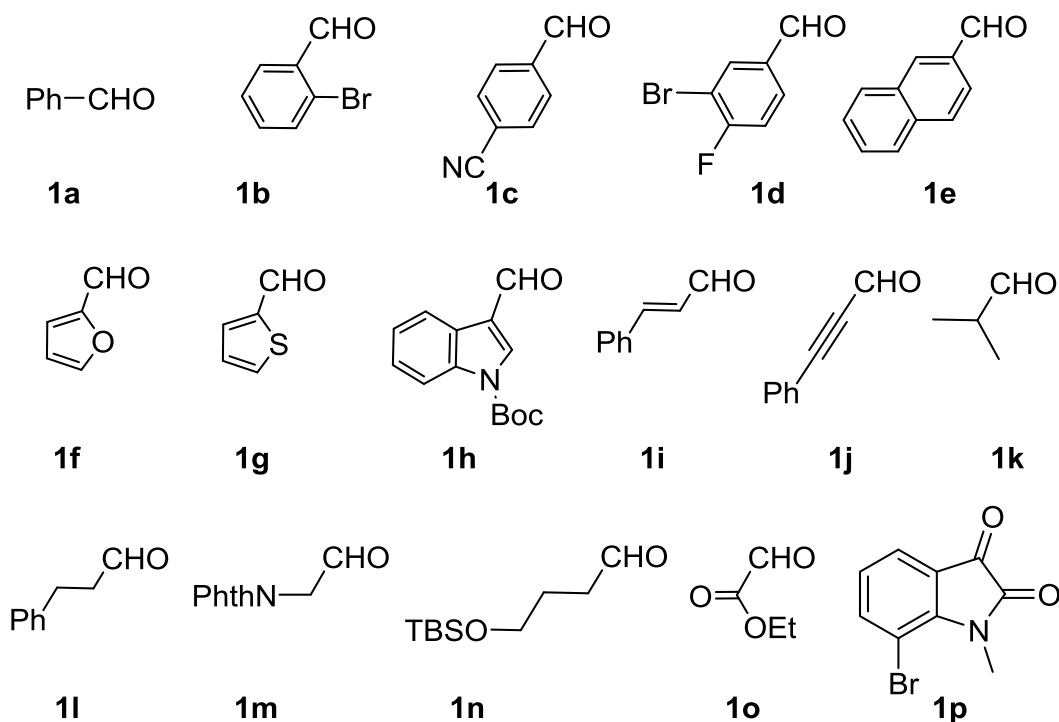
1. General Information.....	S2-S3
2. Typical Procedure for the Synthesis of 4a and Gram-scale Reaction.....	S3-S4
3. Typical Procedure for the Synthesis of 6a.....	S4-S5
4. Procedure for the Synthesis of [D]-4f'.....	S5-S6
5. Control Experiment.....	S7
6. Characterization of 4, 6, 7.....	S8-S32
7. References.....	S33
8. Copies of NMR Spectra.....	S33-S127

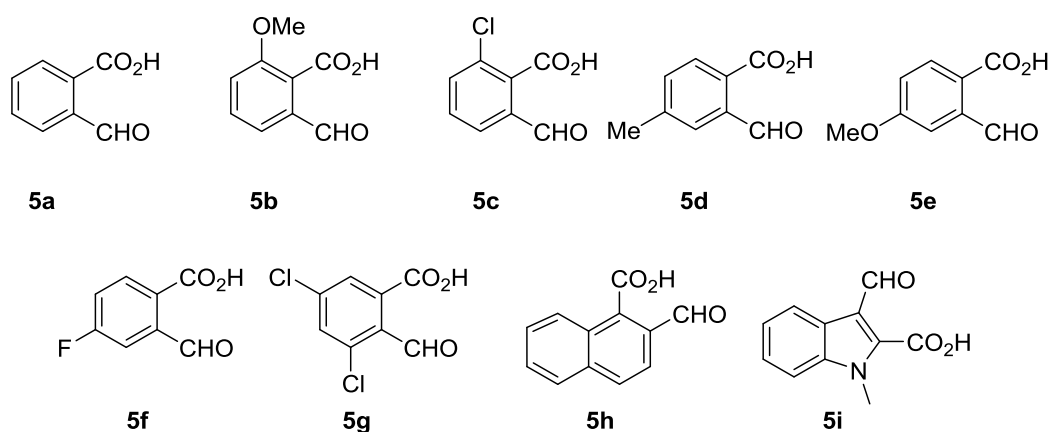
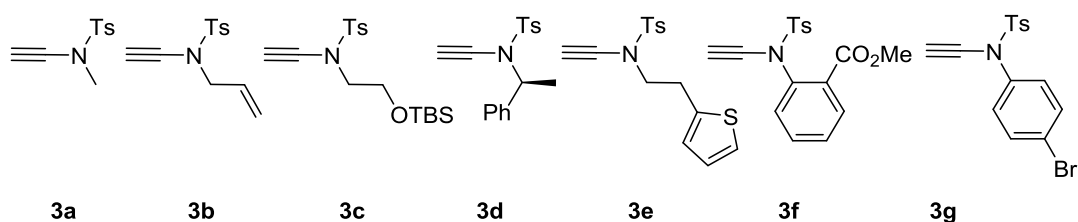
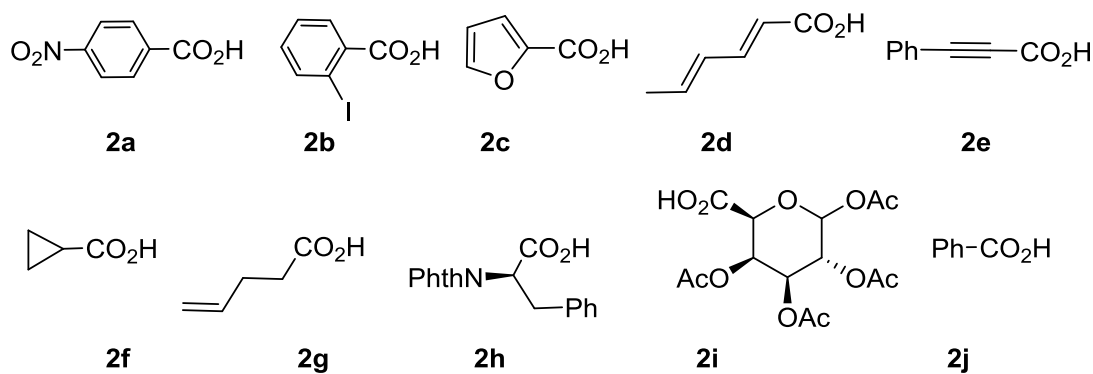
1. General Information

Infrared spectra were obtained on a FTIR spectrometer. ^1H NMR and ^{13}C NMR spectra were recorded on BRUKER AVANCE III 400 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: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, and coupling constants (J) are reported in Hertz (Hz). The HRMS were performed on Agilent GCT Premier Time of Flight Mass Spectrometer (ESI). Melting points were measured with micro melting point apparatus.

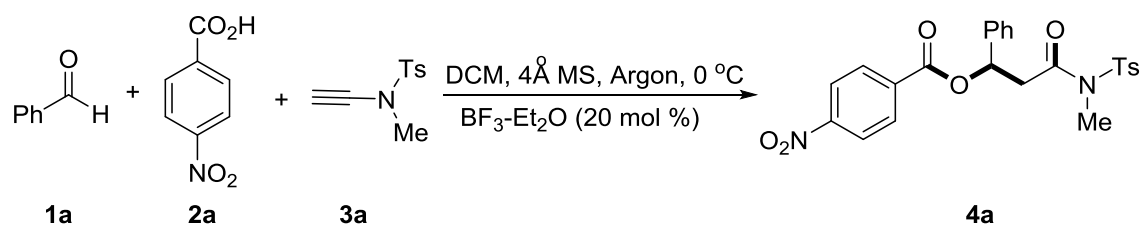
CH_2Cl_2 , ethyl acetate (EA), methanol, petroleum ether (PE) were commercial available, and the carbonyls (**1a-1p**), carboxylic acids (**2a-2j**) were commercial available or could be easily prepared. The ynamides (**3a-3g**) and 2-formylbenzoic acids (**5a-5i**) were prepared according to literature.^{1, 2, 3, 4,}

5





2. Typical Procedure for the Synthesis of 4a and Gram-scale Reaction

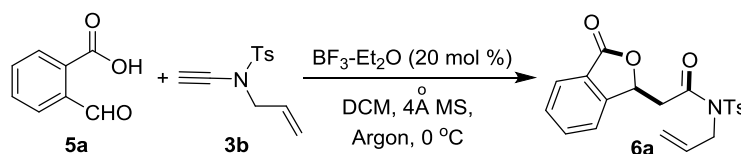


A schlenk tube was added *N*-ethynyl-*N*,4-dimethylbenzenesulfonamide **3a** (41.8 mg, 0.2 mmol), *p*-nitrobenzoic acid **2a** (36.7 mg, 0.22 mmol), and 4 Å MS (20 mg), then evacuated and purged with Argon three times. Afterwards, CH₂Cl₂ (2 mL, dry) was added as solvent. The solution was stirred

under room temperature until the starting material was fully consumed. Then the schlenk tube was put in an ice-bar and stirred for 10 minutes, and benzaldehyde **1a** (23.3 mg, 0.22 mmol) and BF₃-Et₂O (6 mg, 20 mol %) were added in sequence. The solution was stirred about 1 h until completion. The solution was diluted with DCM and poured into aqueous NaHCO₃. The aqueous phase was extracted by DCM for three times, and the combined organic solution was dried with anhydrous MgSO₄. Then the solution was filtrated and concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/petroleum ether (v/v, 1/10) as eluent to give **4a** as a white solid (85 mg, 88% yield).

A 250-mL three neck bottle was added *N*-ethynyl-*N*,4-dimethylbenzenesulfonamide **3a** (1.05 g, 5 mmol), *p*-nitrobenzoic acid **2a** (0.92 g, 5.5 mmol), and 4ÅMS (0.5 g), then was evacuated and purged with Argon three times. Afterwards, CH₂Cl₂ (50 mL, dry) were added and the solution was stirred at room temperature until the starting material was fully consumed. Then the bottle was put in an ice-bar and stirred for 10 minutes. Benzaldehyde **1a** (0.58 g, 5.5 mmol) and BF₃-Et₂O (148 mg, 20 mol %) were added in sequence. The solution was stirred about 1h until completion. The solution was diluted with DCM and poured into aqueous NaHCO₃. The aqueous phase was extracted by DCM for three times, and the combined organic solution was dried with anhydrous MgSO₄. Then the solution was filtrated and concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/petroleum ether (v/v, 1/10) as eluent to give **4a** as a white solid (1.93 g, 80% yield).

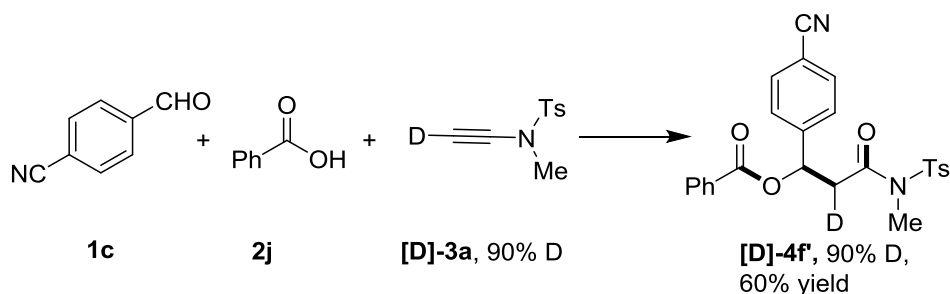
3. Typical Procedure for the Synthesis of **6a**



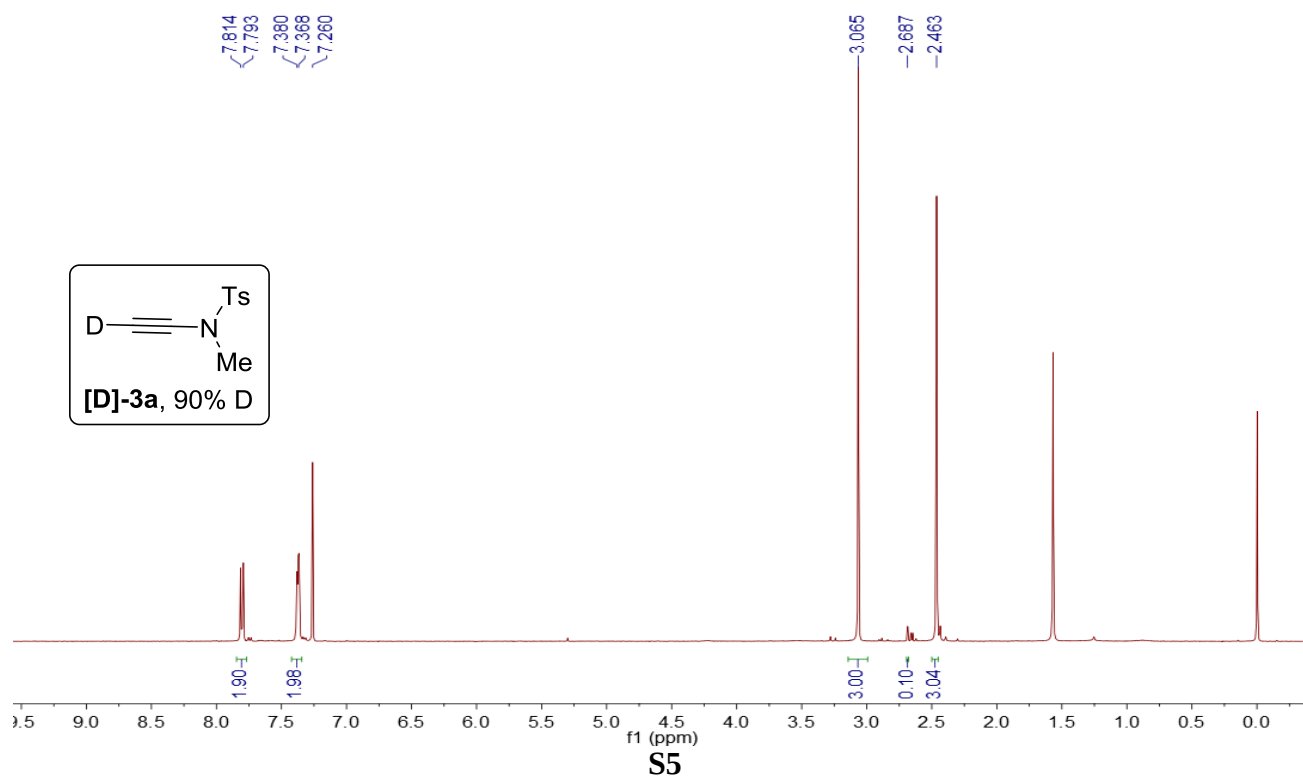
A schlenk tube was added *N*-ethynyl-*N*,4-dimethylbenzenesulfonamide **3b** (47.0 mg, 0.2 mmol), 2-formylbenzaldehyde **5a** (33 mg, 0.22 mmol), and 4Å MS (20 mg), then was evacuated and purged with Argon three times. Afterwards, CH₂Cl₂ (2 mL, dry) were added as solvent. The solution was stirred under room temperature until completion. Then the schlenk tube was put in an ice-bar and BF₃-Et₂O (6 mg, 20 mol %) was added in one portion. The solution was stirred about 1h until the intermediate product was fully converted. The aqueous phase was extracted by DCM for three times,

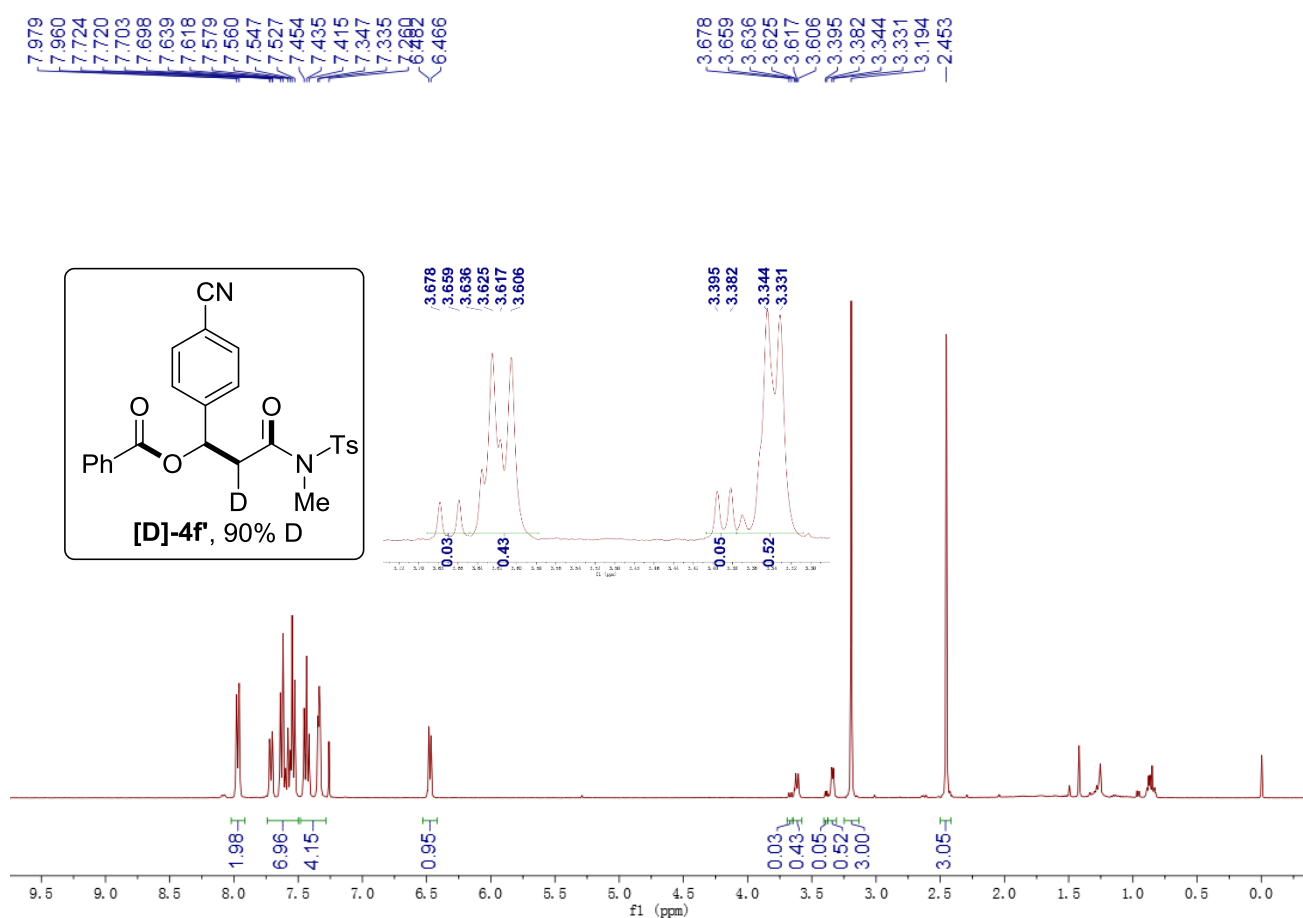
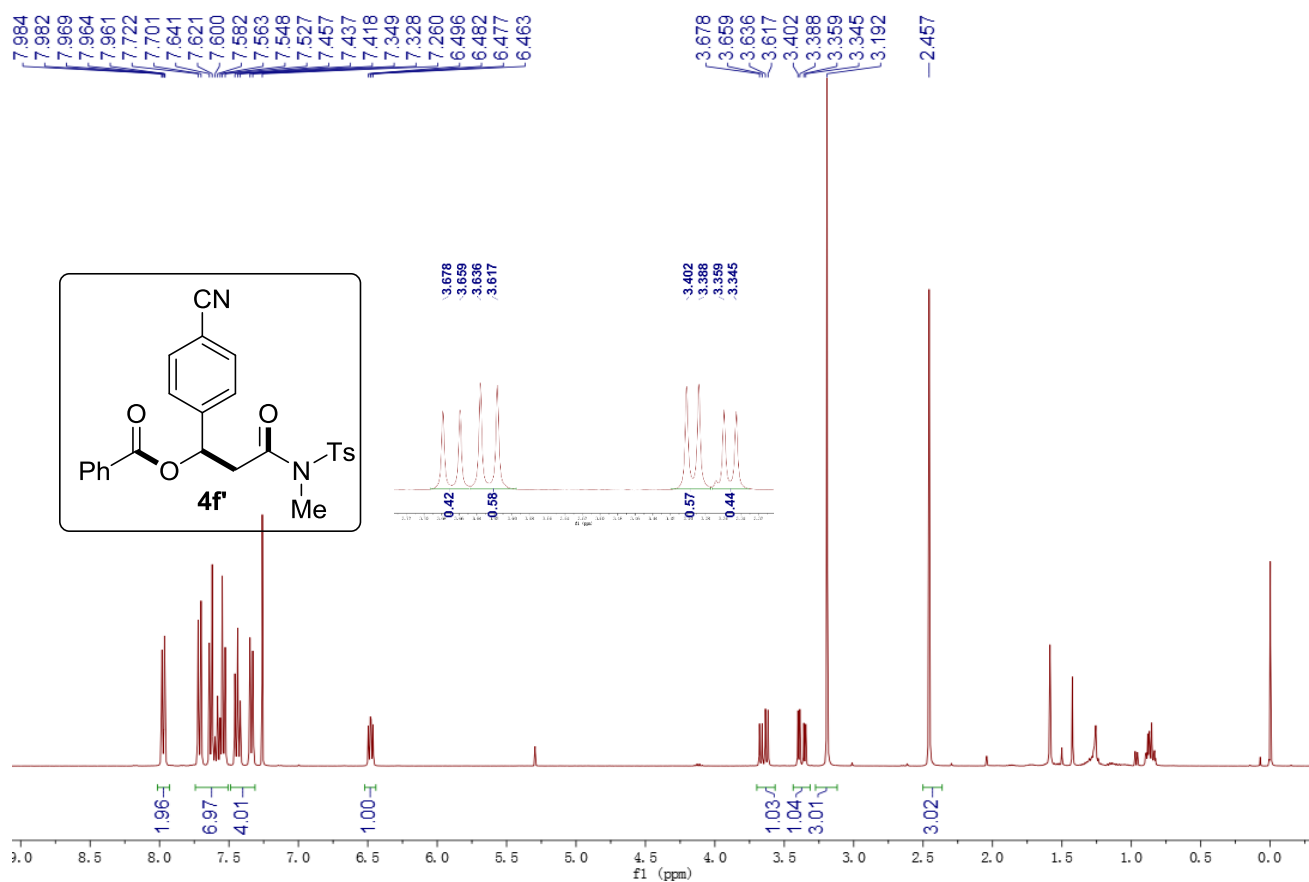
and the combined organic solution was dried with anhydrous MgSO_4 . Then the solution was filtrated and concentrated. The residue was subject to flash column chromatography on silica gel using ethyl acetate/ petroleum ether (v/ v, 1/5) as eluent to give **6a** as a white solid (57 mg, 74% yield).

4. Procedure for the Synthesis of [D]-4f'

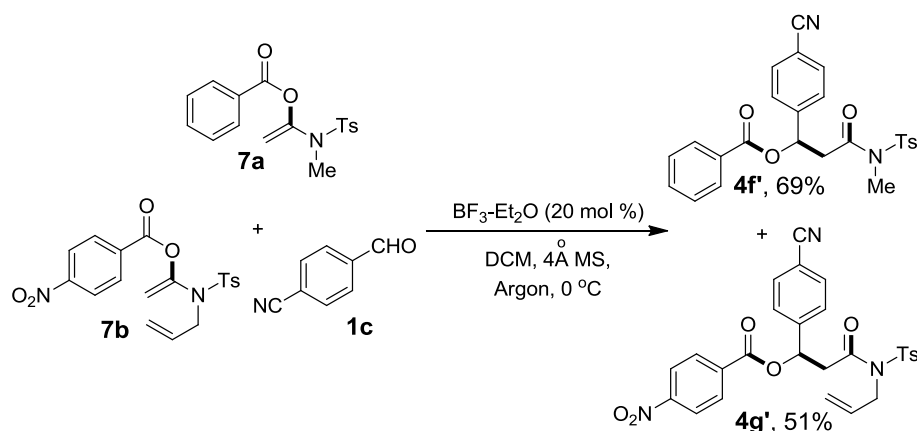


A schlenk tube was added *N*-ethynyl-*N*,4-dimethylbenzenesulfonamide **[D]-3a**⁶ (42 mg, 0.2 mmol), **2j** (24.4 mg, 0.2 mmol), and 4Å MS (20 mg), then evacuated and purged with Argon three times. Afterwards, CH_2Cl_2 (2 mL, dry) were added as solvent. The solution was stirred under room temperature until the starting material was fully consumed. Then the 4-cyanobenzaldehyde **1c** (26 mg, 0.2 mmol) and $\text{BF}_3\text{-Et}_2\text{O}$ (6 mg, 20 mol %) were added in sequence. The solution was stirred about 1h until the intermediate product was fully converted. Then the solution added silica gel was concentrated and the residue was subject to flash column chromatography on silica gel using ethyl acetate/petroleum ether (v/v, 1/5) as eluent to give **[D]-4f'** as a white solid (55 mg, 60 % yield).



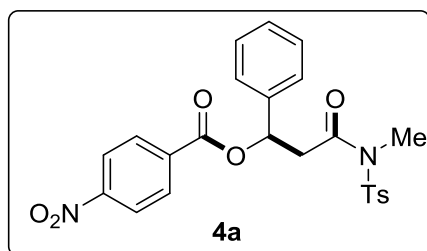


5. Control Experiment



According to the standard producer, the pre-prepared **7a** (66 mg, 0.2 mmol) and **7b** (80 mg, 0.2 mmol) were added in one schlenk tube, then evacuated and purged with Argon three times. Afterwards, CH₂Cl₂ (4 mL, dry) were added as solvent. Then the schlenk tube was put in an ice-bar and stirred for 10 minutes. 4-cyanobenzaldehyde **1c** (58 mg, 0.44 mmol) and BF₃-Et₂O (12 mg, 20 mol %) were added in sequence. The solution was stirred about 1h until the intermediate product was fully converted. The solution was stirred about 1h until the intermediate product was fully converted. The aqueous phase was extracted by DCM for three times, and the combined organic solution was dried with anhydrous MgSO₄. Then the solution was filtrated and 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 **4f'** (64 mg, 69% yield) and **4g'** (54 mg, 51% yield) as white solids.

6. Characterization of 4, 6, 7



3-((*N*,4-Dimethylphenyl)sulfonamido)-3-oxo-1-phenylpropyl 4-nitrobenzoate (**4a**)

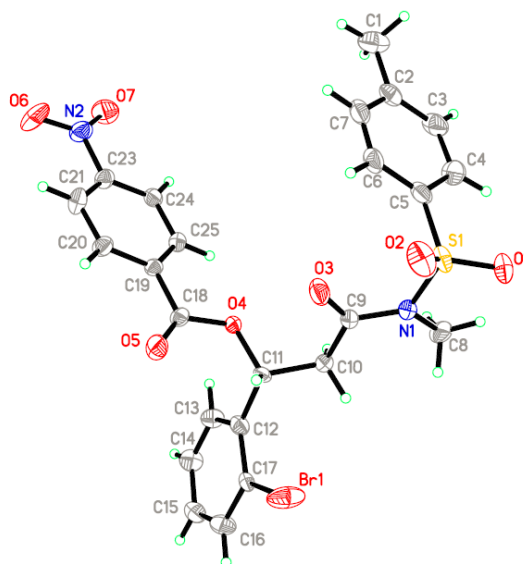
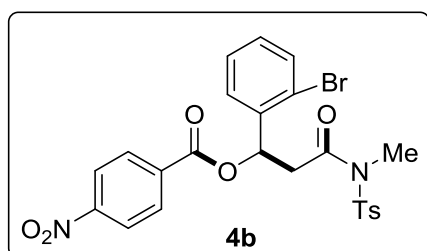
White solid, m. p. 105.5-106.8 °C (84 mg, 88% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl₃, 400MHz) δ 8.25-8.11 (m, 4H), 7.73 (d, J = 8.4 Hz, 2H), 7.44-7.32 (m, 7H), 6.51 (dd, J_1 = 4.4 Hz, J_2 = 8.8 Hz, 1H), 3.71 (dd, J_1 = 8.8 Hz, J_2 = 16.8 Hz, 1H), 3.35 (dd, J_1 = 4.8 Hz, J_2 = 17.2 Hz, 1H), 3.20 (s, 3H), 2.45 (s, 3H).

^{13}C NMR (CDCl₃, 100MHz) δ 169.4, 163.5, 150.6, 145.4, 138.8, 135.8, 135.5, 130.9, 130.2, 128.9, 128.8, 127.3, 126.7, 123.5, 73.7, 43.3, 33.1, 21.7.

IR (KBr) ν 2927, 2361, 1716, 1597, 1523, 1459, 980, 841, 714 cm⁻¹.

HRMS (ESI) m/z Calcd for C₂₄H₂₂N₂O₇SNa [M + Na]⁺: 505.1045; Found: 505.1034.



1-(2-Bromophenyl)-3-((*N*,4-dimethylphenyl)sulfonamido)-3-oxopropyl 4-nitrobenzoate (**4b**)

White solid, m. p. 117.5-118.2 °C (89 mg, 79% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

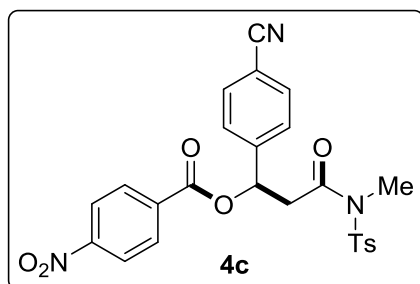
^1H NMR (CDCl₃, 400MHz) δ 8.18-8.17 (m, 4H), 7.67 (d, J = 8.0 Hz, 2H), 7.32-7.18 (m, 5H),

7.12-7.08 (m, 1H), 6.66 (dd, $J_1 = 3.2$ Hz, $J_2 = 9.6$ Hz, 1H), 3.61 (dd, $J_1 = 9.2$ Hz, $J_2 = 17.2$ Hz, 1H), 3.26 (dd, $J_1 = 4.8$ Hz, $J_2 = 17.6$ Hz, 1H), 3.16 (s, 3H), 2.37 (s, 3H).

^{13}C NMR (CDCl₃, 100MHz) δ 169.2, 163.3, 150.7, 145.4, 138.2, 135.8, 135.2, 133.4, 130.99, 130.95, 130.2, 130.1, 130.0, 128.1, 127.4, 123.6, 121.9, 72.8, 41.9, 33.1, 21.8.

IR (KBr) ν 2927, 2363, 1736, 1599, 1528, 1469, 990, 845, 713 cm⁻¹.

HRMS (ESI) m/z Calcd for C₂₄H₂₁BrN₂O₇SNa [M + Na]⁺: 583.0151; Found: 583.0155.



1-(4-Cyanophenyl)-3-((N,4-dimethylphenyl)sulfonamido)-3-oxopropyl

4-nitrobenzoate (4c)

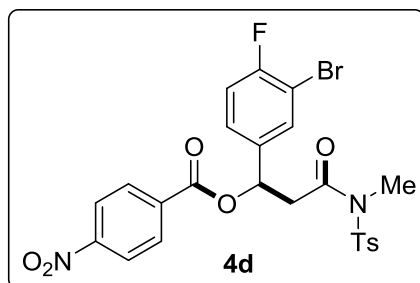
White solid, m. p. 136.5-147.0 °C (80 mg, 79% yield), $R_f = 0.2$ (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl₃, 400MHz) δ 8.26-8.12 (m, 4H), 7.72-7.53 (m, 6H), 7.35 (d, $J = 8.0$ Hz, 2H), 6.50 (dd, $J_1 = 5.2$ Hz, $J_2 = 8.0$ Hz, 1H), 3.68 (dd, $J_1 = 8.0$ Hz, $J_2 = 17.2$ Hz, 1H), 3.38 (dd, $J_1 = 5.2$ Hz, $J_2 = 17.2$ Hz, 1H), 3.18 (s, 3H), 2.45 (s, 3H).

^{13}C NMR (CDCl₃, 100MHz) δ 168.8, 163.4, 150.7, 145.6, 143.9, 135.5, 134.8, 132.7, 130.9, 130.3, 127.5, 127.3, 123.7, 118.4, 112.6, 72.9, 43.1, 33.1, 21.7.

IR (KBr) ν 2930, 2368, 1744, 1602, 1525, 1466, 994, 835, 718 cm⁻¹.

HRMS (ESI) m/z Calcd for C₂₅H₂₁N₃O₇SNa [M + Na]⁺: 530.0998; Found: 530.0995.



1-(3-Bromo-4-fluorophenyl)-3-((N,4-dimethylphenyl)sulfonamido)-3-oxopropyl

4-nitrobenzoate (4d)

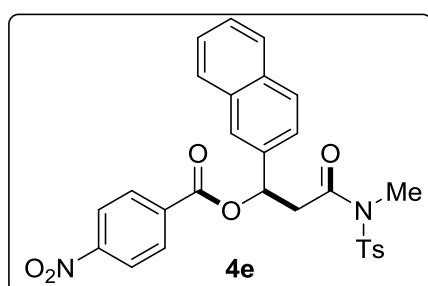
White solid, m. p. 158.8-159.5 °C (90 mg, 77% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.28-8.14 (m, 4H), 7.75 (d, J = 8.4 Hz, 3H), 7.40-7.29 (m, 3H), 7.21-7.13 (m, 1H), 6.74 (dd, J_1 = 2.8 Hz, J_2 = 9.2 Hz, 1H), 3.54 (dd, J_1 = 9.6 Hz, J_2 = 17.6 Hz, 1H), 3.34 (dd, J_1 = 3.2 Hz, J_2 = 17.6 Hz, 1H), 3.24 (s, 3H), 2.46 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.2, 163.3, 150.7, 145.5, 138.2, 135.8, 135.2, 133.5, 131.0, 130.3, 130.1, 128.1, 127.43, 127.40, 123.7, 121.9, 72.8, 41.9, 33.2, 21.8.

IR (KBr) ν 2956, 2362, 1728, 1602, 1528, 1496, 913, 870, 715 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{24}\text{H}_{20}\text{BrFN}_2\text{O}_7\text{SNa}$ $[\text{M} + \text{Na}]^+$: 601.0056; Found: 601.0051.



3-((N,4-Dimethylphenyl)sulfonamido)-1-(naphthalen-2-yl)-3-oxopropyl

4-nitrobenzoate (4e)

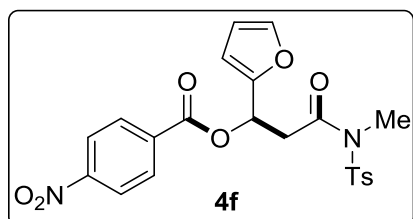
White solid, m. p. 155.1-157.1 °C (83 mg, 78% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.24-8.13 (m, 4H), 7.89-7.69 (m, 6H), 7.55-7.47 (m, 3H), 7.28-7.25 (m, 2H), 6.68 (dd, J_1 = 4.8 Hz, J_2 = 8.4 Hz, 1H), 3.81 (dd, J_1 = 8.4 Hz, J_2 = 17.2 Hz, 1H), 3.47 (dd, J_1 = 4.8 Hz, J_2 = 16.8 Hz, 1H), 3.21 (s, 3H), 2.41 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.4, 163.6, 150.6, 145.3, 136.1, 135.8, 135.5, 133.4, 133.1, 130.9, 130.2, 128.9, 128.2, 127.8, 127.3, 126.7, 126.6, 126.2, 124.1, 123.5, 74.0, 43.3, 33.1, 21.7.

IR (KBr) ν 2927, 2361, 1706, 1603, 1527, 1452, 920, 867, 715 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{28}\text{H}_{24}\text{N}_2\text{O}_7\text{SNa}$ $[\text{M} + \text{Na}]^+$: 555.1202; Found: 555.1200.



3-((N,4-Dimethylphenyl)sulfonamido)-1-(furan-2-yl)-3-oxopropyl 4-nitrobenzoate

(4f)

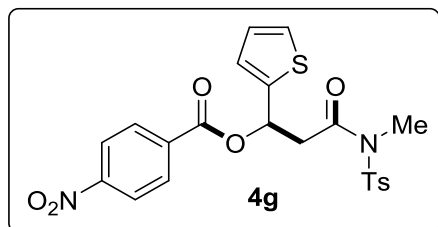
White solid, m. p. 90.5-91.2 °C (51 mg, 54% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.25-8.09 (m, 4H), 7.79 (d, J = 8.4 Hz, 2H), 7.38-7.36 (m, 3H), 6.58 (dd, J_1 = 4.8 Hz, J_2 = 8.0 Hz, 1H), 6.46-6.45 (m, 1H), 6.36-6.35 (m, 1H), 3.81 (dd, J_1 = 8.4 Hz, J_2 = 17.6 Hz, 1H), 3.52 (dd, J_1 = 4.8 Hz, J_2 = 17.2 Hz, 1H), 3.22 (s, 3H), 2.46 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.2, 163.6, 150.6, 145.5, 143.2, 135.8, 135.4, 131.0, 130.3, 127.5, 123.6, 110.7, 110.0, 66.3, 39.6, 33.1, 21.8.

IR (KBr) ν 2924, 2358, 1706, 1596, 1505, 1453, 960, 857, 718 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{22}\text{H}_{20}\text{N}_2\text{O}_8\text{SNa}$ [$\text{M} + \text{Na}$] $^+$: 495.0838; Found: 495.0841.



3-((N,4-Dimethylphenyl)sulfonamido)-3-oxo-1-(thiophen-2-yl)propyl

4-nitrobenzoate (4g)

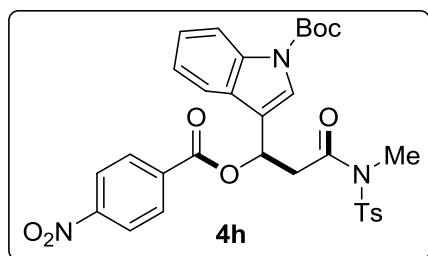
White solid, m. p. 98.5-99.4 °C (45 mg, 46% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.25-8.10 (m, 4H), 7.77-7.75 (m, 2H), 7.38-7.30 (m, 3H), 7.17-7.16 (m, 1H), 6.99-6.97 (m, 1H), 6.80 (dd, J_1 = 4.8 Hz, J_2 = 8.4 Hz, 1H), 3.80 (dd, J_1 = 8.8 Hz, J_2 = 17.2 Hz, 1H), 3.48 (dd, J_1 = 4.8 Hz, J_2 = 17.2 Hz, 1H), 3.22 (s, 3H), 2.46 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.1, 163.5, 150.7, 145.5, 141.2, 135.9, 135.4, 131.0, 130.3, 127.4, 127.1, 126.9, 126.2, 123.6, 69.0, 43.4, 33.1, 21.8.

IR (KBr) ν 2928, 2361, 1709, 1598, 1507, 1452, 971, 847, 713 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{22}\text{H}_{20}\text{N}_2\text{O}_7\text{S}_2\text{Na}$ [$\text{M} + \text{Na}$]: 511.0610; Found: 511.0614.



tert-Butyl

3-(3-((*N*,4-dimethylphenyl)sulfonamido)-1-((4-nitrobenzoyl)oxy)-3-oxopropyl)-1*H*

-indole-1-carboxylate (4h)

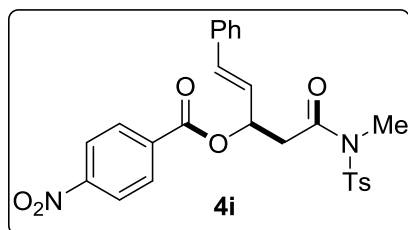
White solid, m. p. 179.5-180.3 °C (65 mg, 52% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.25-8.12 (m, 4H), 7.77-7.70 (m, 4H), 7.37-7.29 (m, 5H), 6.80 (dd, J_1 = 4.8 Hz, J_2 = 8.0 Hz, 1H), 3.91 (dd, J_1 = 8.4 Hz, J_2 = 17.2 Hz, 1H), 3.51 (dd, J_1 = 4.8 Hz, J_2 = 17.2 Hz, 1H), 3.23 (s, 3H), 2.43 (s, 3H), 1.66 (s, 9H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.6, 163.8, 150.7, 145.4, 145.2, 135.9, 135.5, 131.0, 130.3, 130.1, 127.5, 127.3, 125.0, 124.8, 123.7, 123.2, 119.7, 118.1, 115.8, 84.5, 67.9, 41.9, 33.2, 28.3, 21.8.

IR (KBr) ν 2926, 2358, 1710, 1596, 1505, 1454, 990, 848, 714 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{31}\text{H}_{31}\text{N}_3\text{O}_9\text{SNa}$ $[\text{M} + \text{Na}]^+$: 644.1679; Found: 644.1677.



(*E*)-5-((*N*,4-Dimethylphenyl)sulfonamido)-5-oxo-1-phenylpent-1-en-3-yl

4-nitrobenzoate (4i)

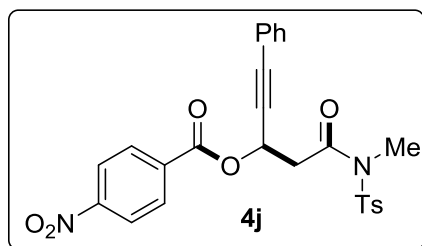
White solid, m. p. 121.5-122.9 °C (70 mg, 69% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.28-8.14 (m, 4H), 7.78-7.76 (m, 2H), 7.36-7.29 (m, 7H), 6.75 (d, J = 15.6 Hz, 1H), 6.25 (dd, J_1 = 7.2 Hz, J_2 = 15.6 Hz, 1H), 6.18-6.14 (m, 1H), 3.53 (dd, J_1 = 8.0 Hz, J_2 = 16.8 Hz, 1H), 3.30 (dd, J_1 = 4.8 Hz, J_2 = 17.2 Hz, 1H), 3.23 (s, 3H), 2.44 s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 168.7, 163.4, 150.7, 145.5, 135.8, 135.1, 132.1, 131.1, 130.23, 130.1, 129.2, 128.4, 127.4, 127.4, 123.6, 121.7, 62.2, 42.3, 25.1, 21.8.

IR (KBr) ν 2926, 2357, 1707, 1598, 1503, 1456, 971, 878, 713 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{26}\text{H}_{24}\text{N}_2\text{O}_7\text{SNa}$ $[\text{M} + \text{Na}]^+$: 531.1202; Found: 531.1205.



5-((N,4-Dimethylphenyl)sulfonamido)-5-oxo-1-phenylpent-1-yn-3-yl

4-nitrobenzoate (4j)

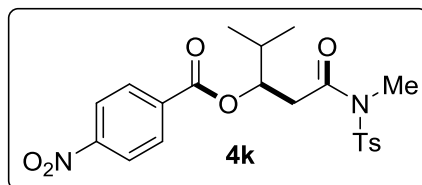
White solid, m. p. 118.5-120.1 °C (64 mg, 63% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.27-8.15 (m, 4H), 7.81-7.79 (m, 2H), 7.42-7.30 (m, 7H), 6.30 (dd, J_1 = 4.8 Hz, J_2 = 8.0 Hz, 1H), 3.72 (dd, J_1 = 8.4 Hz, J_2 = 17.6 Hz, 1H), 3.49 (dd, J_1 = 4.8 Hz, J_2 = 17.6 Hz, 1H), 3.25 (s, 3H), 2.44 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 168.7, 163.4, 150.7, 145.5, 135.8, 135.1, 132.1, 131.1, 130.3, 129.2, 128.4, 127.4, 123.6, 121.7, 86.6, 84.7, 62.2, 42.3, 33.1, 21.8.

IR (KBr) ν 2926, 2359, 1706, 1599, 1502, 1459, 963, 868, 714 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{26}\text{H}_{22}\text{N}_2\text{O}_7\text{SNa}$ $[\text{M} + \text{Na}]^+$: 529.1045; Found: 529.1042.



1-((N,4-Dimethylphenyl)sulfonamido)-4-methyl-1-oxopentan-3-yl 4-nitrobenzoate

(4k)

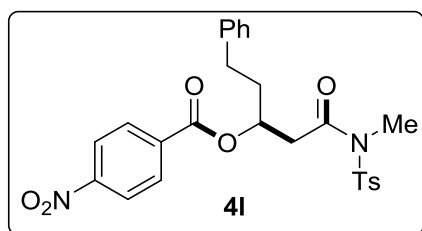
White solid, m. p. 98.8-100.1 °C (45 mg, 50% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.27-8.09 (m, 4H), 7.77-7.75 (m, 2H), 7.35-7.33 (m, 2H), 5.50-5.46 (m, 1H), 3.26-3.19 (m, 4H), 3.09 (dd, J_1 = 3.6 Hz, J_2 = 16.8 Hz, 1H), 2.44 (s, 3H), 2.11-2.03 (m, 1H), 0.98 (d, J = 6.8 Hz, 6H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.4, 164.1, 150.6, 145.3, 136.1, 135.8, 130.8, 130.2, 127.4, 123.6, 76.1, 38.7, 33.2, 31.8, 21.8, 18.5, 17.6.

IR (KBr) ν 2928, 2361, 1711, 1601, 1523, 1460, 993, 869, 706 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{21}\text{H}_{24}\text{N}_2\text{O}_7\text{SNa}$ $[\text{M} + \text{Na}]^+$: 471.1202; Found: 471.1205.



1-((N,4-Dimethylphenyl)sulfonamido)-1-oxo-5-phenylpentan-3-yl 4-nitrobenzoate (4l)

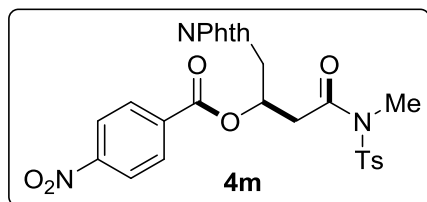
White solid, m. p. 144.4-145.8 °C (78 mg, 76% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.26-8.06 (m, 4H), 7.76-7.74 (m, 2H), 7.35-7.24 (m, 4H), 7.18-7.15 (m, 3H), 5.64-5.58 (m, 1H), 3.31 (dd, J_1 = 7.2 Hz, J_2 = 16.8 Hz, 1H), 3.20 (s, 3H), 3.13 (dd, J_1 = 5.2 Hz, J_2 = 16.8 Hz, 1H), 2.71 (t, J = 6.8 Hz, 2H), 2.44 (s, 3H), 2.13-2.08 (m, 2H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.9, 164.1, 150.6, 145.4, 140.9, 135.9, 135.6, 130.9, 130.2, 128.6, 128.4, 127.4, 126.3, 123.6, 72.2, 41.4, 35.7, 33.1, 31.7, 21.8.

IR (KBr) ν 2929, 2361, 1712, 1600, 1526, 1459, 992, 869, 709 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{26}\text{H}_{26}\text{N}_2\text{O}_7\text{SNa}$ $[\text{M} + \text{Na}]^+$: 533.1358; Found: 533.1364.



4-((N,4-Dimethylphenyl)sulfonamido)-1-(1,3-dioxoisindolin-2-yl)-4-oxobutan-2-yl 4-nitrobenzoate (4m)

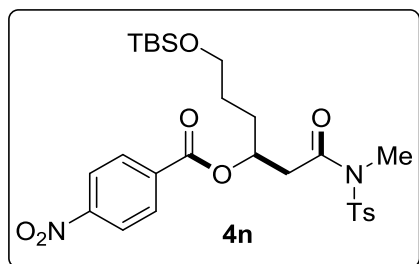
White solid, m. p. 197.5-198.9 °C (60 mg, 54% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/3).

^1H NMR (CDCl_3 , 400MHz) δ 8.27-7.73 (m, 10H), 7.34 (d, J = 8.0 Hz, 2H), 5.82-5.76 (m, 1H), 4.17 (dd, J_1 = 3.6 Hz, J_2 = 14.8 Hz, 1H), 4.09 (dd, J_1 = 4.8 Hz, J_2 = 14.4 Hz, 1H), 3.35 (dd, J_1 = 7.2 Hz, J_2 = 17.2 Hz, 1H), 3.24-3.19 m, 4H), 2.45 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.3, 168.4, 164.1, 150.7, 145.4, 135.8, 135.4, 134.4, 132.0, 131.4, 131.1, 130.2, 127.6, 123.7, 123.6, 70.4, 40.2, 38.9, 33.1, 21.8.

IR (KBr) ν 2939, 2361, 1718, 1604, 1529, 1463, 962, 845, 712 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{27}\text{H}_{23}\text{N}_3\text{O}_9\text{SNa}$ $[\text{M} + \text{Na}]^+$: 588.1053; Found: 588.1054.



6-((tert-Butyldimethylsilyl)oxy)-1-((N,4-dimethylphenyl)sulfonamido)-1-oxohexan-3-yl 4-nitrobenzoate (4n)

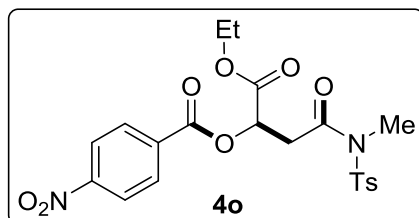
White solid, m. p. 89.5-91.6 °C (46 mg, 40 % yield), $R_f = 0.2$ (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 8.24-8.06 (m, 4H), 7.80 (d, $J = 8.4$ Hz, 2H), 7.49 (d, $J = 8.4$ Hz, 2H), 6.43-6.40 (m, 1H), 3.78-3.75 (m, 2H), 3.57 (dd, $J_1 = 8.8$ Hz, $J_2 = 16.8$ Hz, 1H), 3.44 (s, 3H), 3.22 (dd, $J_1 = 4.4$ Hz, $J_2 = 17.2$ Hz, 1H), 2.42 (s, 3H), 2.41-2.38 (m, 2H), 1.50-1.44 (m, 2H), 0.84 (s, 9H), 0.02 (s, 6H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.5, 163.6, 150.6, 138.8, 136.7, 135.6, 130.9, 129.9, 127.9, 126.6, 73.6, 61.7, 37.5, 33.1, 28.6, 25.9, 23.5, 21.7, 18.4, -5.4.

IR (KBr) ν 2928, 2360, 1711, 1598, 1525, 1460, 982, 874, 703 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{27}\text{H}_{38}\text{N}_2\text{O}_8\text{SSiNa}$ $[\text{M} + \text{Na}]^+$: 601.2016; Found: 601.2020.



4-((N,4-Dimethylphenyl)sulfonamido)-1-ethoxy-1,4-dioxobutan-2-yl 4-nitrobenzoate (4o)

4-nitrobenzoate (4o)

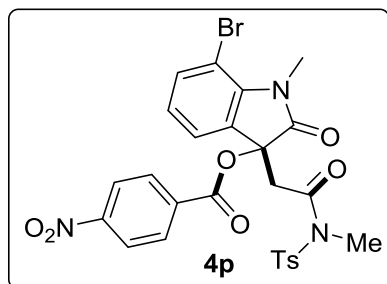
White solid, m. p. 139.2-140.4 °C (63 mg, 66% yield), $R_f = 0.2$ (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 8.27-8.13 (m, 4H), 7.77 (d, $J = 8.4$ Hz, 2H), 7.36 (d, $J = 8.0$ Hz, 2H), 5.79 (dd, $J_1 = 4.0$ Hz, $J_2 = 7.2$ Hz, 1H), 4.22 (q, $J = 7.2$ Hz, 2H), 3.61 (dd, $J_1 = 7.2$ Hz, $J_2 = 17.6$ Hz, 1H), 3.50 (dd, $J_1 = 4.0$ Hz, $J_2 = 18.0$ Hz, 1H), 3.24 (s, 3H), 2.44 (s, 3H), 1.24 (t, $J = 7.2$ Hz, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 168.9, 168.6, 163.7, 150.8, 145.6, 135.6, 134.7, 131.1, 130.3, 127.4, 123.6, 69.3, 62.2, 38.4, 33.1, 21.8, 14.1.

IR (KBr) ν 2975, 2360, 1724, 1601, 1527, 1461, 982, 873, 714 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{21}H_{22}N_2O_9SNa$ $[M + Na]^+$: 501.0944; Found: 501.0947.



7-Bromo-3-(2-((N,4-dimethylphenyl)sulfonamido)-2-oxoethyl)-1-methyl-2-oxindolin-3-yl 4-nitrobenzoate (4p)

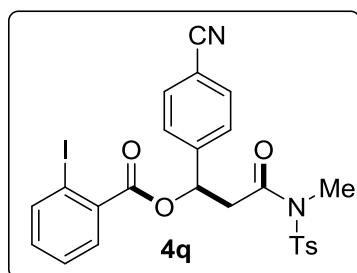
White solid, m. p. 144.8-146.1 °C (48 mg, 40% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/3).

1H NMR ($CDCl_3$, 400MHz) δ 8.26-8.11 (m, 4H), 7.75 (d, J = 8.4 Hz, 2H), 7.46-7.24 (m, 4H), 6.83 (t, J = 8.0 Hz, 1H), 4.14 (d, J = 17.2 Hz, 1H), 3.69 (s, 3H), 3.64 (d, J = 17.2 Hz, 1H), 3.11 (s, 3H), 2.46 (s, 3H).

^{13}C NMR ($CDCl_3$, 100MHz) δ 173.8, 167.3, 162.2, 150.9, 145.7, 142.8, 136.5, 135.4, 134.2, 131.2, 130.4, 128.6, 127.4, 124.0, 123.7, 122.9, 103.1, 78.0, 43.2, 33.0, 30.5, 21.8.

IR (KBr) ν 2979, 2362, 1702, 1592, 1516, 1454, 972, 849, 704 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{26}H_{22}BrN_3O_8SNa$ $[M + Na]^+$: 638.0209; Found: 638.0200.



1-(4-Cyanophenyl)-3-((N,4-dimethylphenyl)sulfonamido)-3-oxopropyl 2-iodobenzoate (4q)

2-iodobenzoate (4q)

White solid, m. p. 131.6-133.4 °C (70 mg, 60 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1/5).

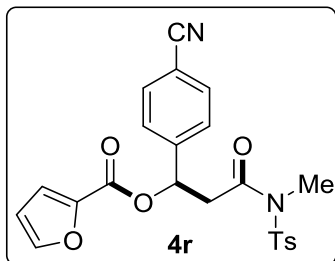
1H NMR ($CDCl_3$, 400MHz) δ 7.93-7.71 (m, 4H), 7.62-7.55 (m, 4H), 7.38-7.29 (m, 3H), 7.14 (t, J = 7.2 Hz, 1H), 6.50 (dd, J_1 = 4.8 Hz, J_2 = 7.6 Hz, 1H), 3.64 (dd, J_1 = 8.0 Hz, J_2 = 17.2 Hz, 1H), 3.37 (dd, J_1 = 5.2 Hz, J_2 = 17.6 Hz, 1H), 3.25 (s, 3H), 2.40 (s, 3H).

^{13}C NMR ($CDCl_3$, 100MHz) δ 169.0, 165.1, 145.5, 144.2, 141.5, 135.7, 134.4, 133.1, 132.6,

131.4, 130.3, 128.1, 127.7, 127.4, 118.6, 112.4, 94.2, 72.8, 43.2, 33.2, 21.8.

IR (KBr) ν 2936, 2361, 1718, 1605, 1498, 1451, 977, 864, 715 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{25}\text{H}_{21}\text{IN}_2\text{O}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 611.0114; Found: 611.0117.



1-(4-Cyanophenyl)-3-((*N*,4-dimethylphenyl)sulfonamido)-3-oxopropyl

furan-2-carboxylate (**4r**)

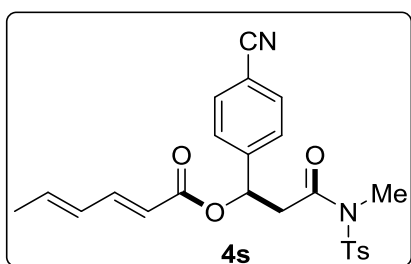
White solid, m. p. 120.5-121.0 $^{\circ}\text{C}$ (53 mg, 59 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.72-7.51 (m, 7H), 7.35-7.33 (m, 2H), 7.18-7.17 (m, 1H), 6.52-6.51 (m, 1H), 6.44 (dd, J_1 = 6.0 Hz, J_2 = 7.6 Hz, 1H), 3.61 (dd, J_1 = 7.6 Hz, J_2 = 17.2 Hz, 1H), 3.36 (dd, J_1 = 5.6 Hz, J_2 = 17.2 Hz, 1H), 3.18 (s, 3H), 2.45 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.0, 157.3, 146.9, 145.5, 144.4, 144.0, 135.6, 132.6, 130.3, 127.6, 127.3, 119.0, 118.6, 112.4, 112.1, 71.8, 43.3, 33.1, 21.8.

IR (KBr) ν 2959, 2361, 1702, 1603, 1526, 1473, 933, 854, 706 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{23}\text{H}_{20}\text{N}_2\text{O}_6\text{SNa}$ $[\text{M} + \text{Na}]^+$: 475.0940; Found: 475.0950.



1-(4-Cyanophenyl)-3-((*N*,4-dimethylphenyl)sulfonamido)-3-oxopropyl-hexa-2,4-d

ienoate (**4s**)

White solid, m. p. 157.5-158.6 $^{\circ}\text{C}$ (38 mg, 42 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1/5).

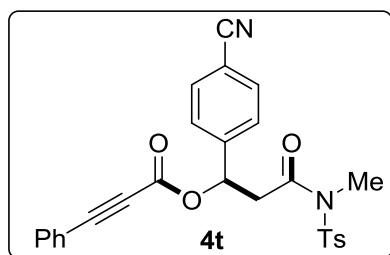
^1H NMR (CDCl_3 , 400MHz) δ 7.71-7.59 (m, 4H), 7.46-7.33 (m, 4H), 7.23-7.20 (m, 1H), 6.28 (dd, J_1 = 5.6 Hz, J_2 = 7.2 Hz, 1H), 6.18-6.15 (m, 2H), 5.72 (d, J = 15.2 Hz, 1H), 3.50 (dd, J_1 = 7.6 Hz, J_2 = 17.2 Hz, 1H), 3.28 (dd, J_1 = 6.0 Hz, J_2 = 17.2 Hz, 1H), 3.17 (s, 3H), 2.46 (s, 3H), 1.86 (d, J =

4.8 Hz, 3H).

¹³C NMR (CDCl₃, 100MHz) δ 169.2, 165.9, 146.5, 145.5, 145.1, 140.7, 135.8, 132.5, 130.3, 129.7, 127.5, 127.3, 118.7, 117.9, 112.1, 71.2, 43.3, 33.1, 21.8, 18.9.

IR (KBr) ν 2931, 2361, 1705, 1605, 1497, 1359, 930, 838, 701 cm⁻¹.

HRMS (ESI) m/z Calcd for C₂₄H₂₄N₂O₅Na [M + Na]⁺: 475.1304; Found: 475.1309.



1-(4-Cyanophenyl)-3-((N,4-dimethylphenyl)sulfonamido)-3-oxopropyl

3-phenylpropiolate (4t)

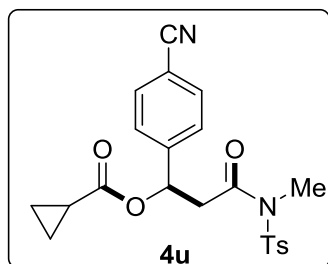
White solid, m. p. 116.5-118.1 °C (51 mg, 52% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/5).

¹H NMR (CDCl₃, 400MHz) δ 7.73-7.63 (m, 4H), 7.58-7.45 (m, 5H), 7.40-7.34 (m, 4H), 6.37 (dd, J₁ = 5.6 Hz, J₂ = 7.6 Hz, 1H), 3.59 (dd, J₁ = 8.0 Hz, J₂ = 17.6 Hz, 1H), 3.33 (dd, J₁ = 5.2 Hz, J₂ = 17.2 Hz, 1H), 3.19 (s, 3H), 2.43 (s, 3H).

¹³C NMR (CDCl₃, 100MHz) δ 168.9, 152.6, 145.5, 143.8, 135.6, 133.1, 132.6, 131.1, 130.3, 128.8, 127.7, 127.4, 119.3, 118.5, 112.5, 87.7, 80.2, 72.9, 43.0, 33.1, 21.8.

IR (KBr) ν 2930, 2361, 1702, 1600, 1508, 1475, 920, 818, 704 cm⁻¹.

HRMS (ESI) m/z Calcd for C₂₇H₂₂N₂O₅Na [M + Na]⁺: 509.1147; Found: 509.1143.



1-(4-Cyanophenyl)-3-((N,4-dimethylphenyl)sulfonamido)-3-oxopropyl

cyclopropanecarboxylate (4u)

White solid, m. p. 86.7-87.4 °C (44 mg, 51% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/5).

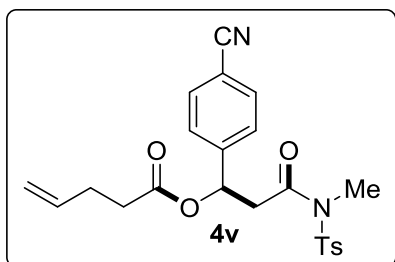
¹H NMR (CDCl₃, 400MHz) δ 7.71-7.60 (m, 4H), 7.45-7.34 (m, 4H), 6.21 (dd, J₁ = 6.0 Hz, J₂ =

7.2 Hz, 1H), 3.45 (dd, $J_1 = 7.6$ Hz, $J_2 = 17.2$ Hz, 1H), 3.25 (dd, $J_1 = 5.6$ Hz, $J_2 = 16.8$ Hz, 1H), 3.18 (s, 3H), 2.46 (s, 3H), 1.62-1.56 (m, 1H), 1.01-0.83 (m, 4H).

^{13}C NMR (CDCl_3 , 100MHz) δ 173.6, 169.1, 145.5, 144.9, 135.8, 132.6, 130.3, 127.4, 127.4, 118.6, 112.2, 71.4, 43.4, 33.1, 21.8, 12.9, 9.0, 9.0.

IR (KBr) ν 2930, 2361, 1705, 1602, 1529, 1459, 984, 859, 712 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 449.1147; Found: 449.1146.



**1-(4-Cyanophenyl)-3-((N,4-dimethylphenyl)sulfonamido)-3-oxopropyl
pent-4-enoate (4v)**

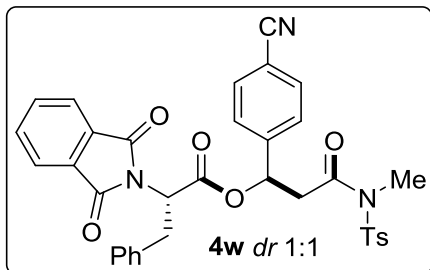
White solid, m. p. 108.9-110.0 $^{\circ}\text{C}$ (45 mg, 51% yield), $R_f = 0.2$ (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 7.71-7.69 (m, 4H), 7.44-7.34 (m, 4H), 6.22 (t, $J = 6.8$ Hz, 1H), 5.79-5.70 (m, 1H), 5.01-4.95 (m, 2H), 3.44 (dd, $J_1 = 8.0$ Hz, $J_2 = 17.2$ Hz, 1H), 3.22 (dd, $J_1 = 5.2$ Hz, $J_2 = 17.2$ Hz, 1H), 3.17 (s, 3H), 2.46 (s, 3H), 2.40-2.29 (m, 4H).

^{13}C NMR (CDCl_3 , 100MHz) δ 171.7, 169.0, 145.5, 144.7, 136.4, 135.7, 132.5, 130.2, 127.5, 127.3, 118.6, 115.8, 112.2, 71.3, 43.2, 33.4, 33.1, 28.7, 21.8.

IR (KBr) ν 2935, 2361, 1702, 1599, 1526, 1462, 981, 857, 716 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{23}\text{H}_{24}\text{N}_2\text{O}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 463.1304; Found: 463.1305.



**(R)-1-(4-Cyanophenyl)-3-((N,4-dimethylphenyl)sulfonamido)-3-oxopropyl
(S)-2-(1,3-dioxoisindolin-2-yl)-3-phenylpropanoate (4w)**

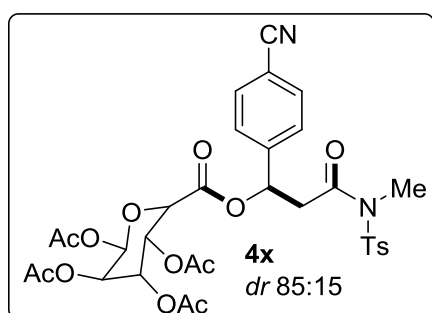
White solid, m. p. 137.5-138.9 $^{\circ}\text{C}$ (64 mg, 50 % yield), $R_f = 0.2$ (EtOAc/Petroleum ether 1/2).

^1H NMR (CDCl_3 , 400MHz) δ 7.78-7.56 (m, 8H), 7.42-7.30 (m, 4H), 7.17-7.13 (m, 5H), 6.31 (t, J = 7.2 Hz, 1H), 5.16-5.11 (m, 1H), 3.53-3.37 (m, 3H), 3.30-3.21 (m, 1H), 3.16 and 3.12 (s, 3H), 2.43 and 2.42 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 168.8 and 168.6, 167.8 and 167.7, 167.4, 145.5, 143.9 and 143.7, 136.5 and 136.4, 135.74 and 135.67, 134.37 and 134.32, 132.7 and 132.5, 131.6, 130.3, 128.9, 128.7, 127.6 and 127.4, 127.3, 127.1, 123.64 and 123.60, 118.1, 112.5, 73.2 and 73.0, 53.4 and 53.3, 43.1, 34.7, 33.1 and 33.0, 21.8.

IR (KBr) ν 2933, 2362, 1703, 1600, 1522, 1461, 993, 879, 714 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{35}\text{H}_{29}\text{N}_3\text{O}_7\text{SNa}$ $[\text{M} + \text{Na}]^+$: 658.1624; Found: 658.1620.



6-((1-(4-Cyanophenyl)-3-((*N*,4-dimethylphenyl)sulfonamido)-3-oxopropoxy)carbonyl)tetrahydro-2*H*-pyran-2,3,4,5-tetraol tetraacetate (4x)

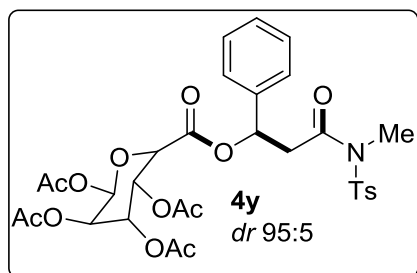
White solid, m. p. 120.6-121.0 $^{\circ}\text{C}$ (82 mg, 59 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1/1).

^1H NMR (CDCl_3 , 400MHz) δ 7.72-7.60 (m, 4H), 7.42-7.37 (m, 4H), 6.49-6.47 (m, 1H), 6.31-6.28 (m, 1H), 5.77-5.66 (m, 1H), 5.36-5.27 (m, 2H), 4.74 and 4.73 (s, 1H), 3.47 (dd, J_1 = 8.0 Hz, J_2 = 17.2 Hz, 1H), 3.28 (dd, J_1 = 5.2 Hz, J_2 = 17.6 Hz, 1H), 3.17 (s, 3H), 2.48 (s, 3H), 2.15 (s, 3H), 2.00 (s, 6H), 1.72 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.3, 169.8, 169.8, 168.7, 168.6, 164.8, 145.7, 143.1, 135.5, 132.6, 130.4, 128.2 and 127.9, 127.4 and 127.1, 118.3, 112.8, 89.5, 72.72 and 72.67, 70.5, 68.3 and 68.1, 67.1, 65.9, 42.7 and 42.5, 33.0, 21.8, 20.97 and 20.91, 20.7, 20.6, 20.3.

IR (KBr) ν 2930, 2361, 1756, 1700, 1604, 1500, 939, 842, 704 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{32}\text{H}_{34}\text{N}_2\text{O}_{14}\text{SNa}$ $[\text{M} + \text{Na}]^+$: 725.1628; Found: 725.1621.



6-((3-((N,4-Dimethylphenyl)sulfonamido)-3-oxo-1-phenylpropoxy)carbonyl)tetrahydro-2H-pyran-2,3,4,5-tetraacetate (4y)

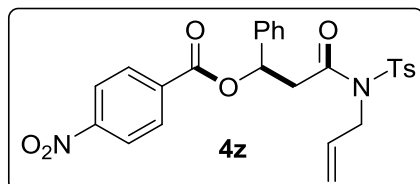
White solid, m. p. 105.6-106.7 °C (72 mg, 53% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/1).

^1H NMR (CDCl_3 , 400MHz) δ 7.74 (d, J = 8.0 Hz, 2H), 7.38-7.25 (m, 7H), 6.47 (d, J = 3.2 Hz, 1H), 6.30 (dd, J_1 = 4.0 Hz, J_2 = 8.8 Hz, 1H), 5.76-5.63 (m, 1H), 5.34-5.04 (m, 2H), 4.71 and 4.60 (d, J = 2.8 Hz, 1H), 3.51 (dd, J_1 = 8.8 Hz, J_2 = 17.6 Hz, 1H), 3.25-3.21 (m, 4H), 2.47 (s, 3H), 2.15 (s, 3H), 1.98 (s, 6H), 1.59 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.4, 169.9, 169.8, 169.3, 168.6, 164.7, 145.5, 137.9, 135.8, 130.3, 129.0, 127.6, 127.4, 89.6, 73.5, 70.5, 68.4, 67.2, 66.0, 65.9, 42.4, 33.1, 21.8, 21.0, 20.8, 20.6, 20.3.

IR (KBr) ν 2932, 2361, 1766, 1705, 1601, 1502, 988, 852, 711 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{31}\text{H}_{35}\text{NO}_{14}\text{SNa}$ [$\text{M} + \text{Na}$] $^+$: 700.1676; Found: 700.1676.



3-((N-Allyl-4-methylphenyl)sulfonamido)-3-oxo-1-phenylpropyl 4-nitrobenzoate (4z)

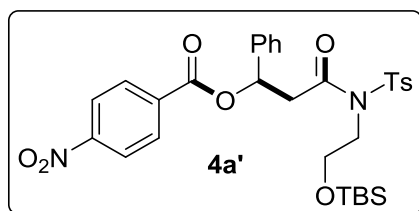
White solid, m. p. 125.4-127.3 °C (60 mg, 59 % yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

^1H NMR (CDCl_3 , 400MHz) δ 8.25-8.08 (m, 4H), 7.77 (d, J = 8.4 Hz, 2H), 7.37-7.31 (m, 7H), 6.46 (dd, J_1 = 4.8 Hz, J_2 = 8.8 Hz, 1H), 5.83-5.73 (m, 1H), 5.21-5.13 (m, 2H), 4.46-4.35 (m, 2H), 3.59 (dd, J_1 = 8.8 Hz, J_2 = 16.4 Hz, 1H), 3.20 (dd, J_1 = 4.4 Hz, J_2 = 16.8 Hz, 1H), 2.45 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.0, 163.5, 150.6, 145.3, 138.6, 136.5, 135.5, 132.4, 130.9, 130.0, 128.9, 128.8, 127.9, 126.7, 123.6, 118.5, 73.8, 48.6, 43.1, 21.8.

IR (KBr) ν 2923, 2361, 1715, 1689, 1604, 1498, 944, 828, 715 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{26}H_{24}N_2O_7SNa$ $[M + Na]^+$: 531.1202; Found: 531.1201.



3-((N-(2-((*tert*-Butyldimethylsilyl)oxy)ethyl)-4-methylphenyl)sulfonamido)-3-oxo-1-phenylpropyl 4-nitrobenzoate (4a')

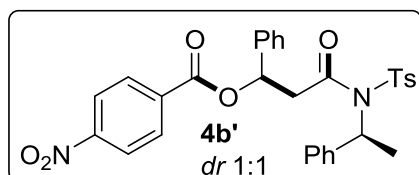
White solid, m. p. 138.9-139.9 °C (65 mg, 52 % yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

1H NMR ($CDCl_3$, 400MHz) δ 8.24-8.06 (m, 4H), 7.80 (d, J = 8.4 Hz, 2H), 7.34-7.28 (m, 7H), 6.42 (dd, J_1 = 4.0 Hz, J_2 = 8.8 Hz, 1H), 3.93-3.91 (m, 2H), 3.80-3.78 (m, 2H), 3.57 (dd, J_1 = 8.8 Hz, J_2 = 16.8 Hz, 1H), 3.22 (dd, J_1 = 4.4 Hz, J_2 = 17.2 Hz, 1H), 2.42 (s, 3H), 0.84 (s, 9H), 0.02 (s, 6H).

^{13}C NMR ($CDCl_3$, 100MHz) δ 169.5, 163.5, 150.6, 145.0, 138.8, 136.7, 135.6, 130.8, 129.8, 128.9, 128.8, 127.9, 126.6, 123.5, 73.6, 61.7, 48.3, 43.1, 25.9, 21.7, 18.3, -5.4.

IR (KBr) ν 2946, 2361, 1728, 1697, 1603, 1534, 937, 842, 710 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{31}H_{38}N_2O_8SSiNa$ $[M + Na]^+$: 649.2016; Found: 649.2019.



3-((4-Methyl-N-((*S*)-1-phenylethyl)phenyl)sulfonamido)-3-oxo-1-phenylpropyl 4-nitrobenzoate (4b')

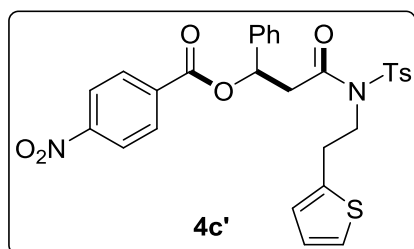
White solid, m. p. 147.5-148.8 °C (75 mg, 66 % yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

1H NMR ($CDCl_3$, 400MHz) δ 8.23-7.96 (m, 4H), 7.73-7.70 (m, 4H), 7.35-7.26 (m, 10H), 6.40-6.34 (m, 1H), 5.82-5.57 (m, 2H), 3.61-3.44 (m, 1H), 3.19-3.10 (m, 1H), 2.47 and 2.45 (s, 3H), 1.84 and 1.82 (s, 3H).

^{13}C NMR ($CDCl_3$, 100MHz) δ 168.8 and 168.6, 167.8 and 167.7, 145.5, 143.8 and 143.7, 134.33 and 134.28, 132.6 and 132.5, 131.6, 130.3, 128.9, 128.7, 127.2, 123.6, 118.5, 112.5, 73.2, 53.3, 43.1, 21.8, 21.2.

IR (KBr) ν 2936, 2361, 1725, 1699, 1600, 1524, 957, 849, 711 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{31}H_{28}N_2O_7SNa$ $[M + Na]^+$: 595.1515; Found: 595.1510.



3-((4-Methyl-N-(2-(thiophen-2-yl)ethyl)phenyl)sulfonamido)-3-oxo-1-phenylpropyl 4-nitrobenzoate (4c')

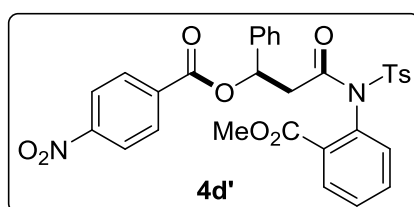
White solid, m. p. 126.9-128.3 °C (72 mg, 62% yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

1H NMR ($CDCl_3$, 400MHz) δ 8.27-8.11 (m, 4H), 7.74 (d, J = 8.4 Hz, 2H), 7.38-7.33 (m, 7H), 7.13-7.12 (m, 1H), 6.91-6.81 (m, 2H), 6.48 (dd, J_1 = 4.4 Hz, J_2 = 8.8 Hz, 1H), 3.93 (t, J = 8.0 Hz, 2H), 3.59 (dd, J_1 = 8.4 Hz, J_2 = 16.8 Hz, 1H), 3.24 (dd, J_1 = 4.8 Hz, J_2 = 16.8 Hz, 1H), 3.13-3.08 (m, 2H), 2.46 (s, 3H).

^{13}C NMR ($CDCl_3$, 100MHz) δ 169.2, 163.6, 150.7, 145.5, 139.8, 138.7, 136.5, 135.5, 130.9, 130.2, 129.0, 128.9, 127.5, 127.2, 126.7, 126.0, 124.3, 123.6, 73.8, 48.4, 43.4, 29.8, 21.8.

IR (KBr) ν 2941, 2361, 1718, 1700, 1601, 1528, 941, 836, 718 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{29}H_{26}N_2O_7S_2Na$ $[M + Na]^+$: 601.1079; Found: 601.1083.



Methyl 2-(3-((4-nitrobenzoyl)oxy)-3-phenyl-N-tosylpropanamido)benzoate (4d')

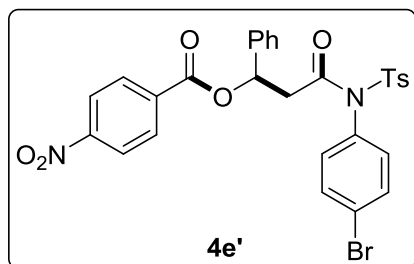
White solid, m. p. 177.5-179.3 °C (80 mg, 68 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1/5).

1H NMR ($CDCl_3$, 400MHz) δ 8.19-8.05 (m, 4H), 7.96-7.92 (m, 2H), 7.82-7.76 (m, 4H), 7.62-7.48 (m, 4H), 7.32-7.18 (m, 3H), 6.36-6.30 (m, 1H), 3.54 (s, 3H), 2.99-2.53 (m, 2H), 1.88 (s, 3H).

^{13}C NMR ($CDCl_3$, 100MHz) δ 170.1, 165.6, 163.6, 150.6, 145.0, 138.8, 136.0, 135.7, 133.5, 132.5, 132.1, 131.1, 130.9, 130.2, 129.4, 129.4, 128.7, 126.6, 125.4, 123.6, 123.4, 73.4, 52.5, 25.0, 21.8.

IR (KBr) ν 2923, 2361, 1708, 1689, 1603, 1498, 938, 852, 706 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{31}H_{26}N_2O_9SNa$ $[M + Na]^+$: 625.1257; Found: 625.1252.



3-((*N*-(4-Bromophenyl)-4-methylphenyl)sulfonamido)-3-oxo-1-phenylpropyl

4-nitrobenzoate (4e')

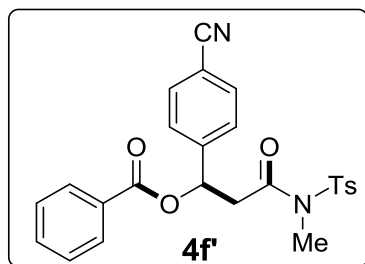
White solid, m. p. 167.5-169.0 °C (52 mg, 41 % yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

¹H NMR (CDCl₃, 400MHz) δ 8.26-8.08 (m, 4H), 7.85-7.58 (m, 4H), 7.31-7.20 (m, 7H), 6.99-6.93 (m, 2H), 6.31 (dd, J_1 = 5.6 Hz, J_2 = 8.0 Hz, 1H), 2.92 (dd, J_1 = 8.4 Hz, J_2 = 16.4 Hz, 1H), 2.65 (dd, J_1 = 5.2 Hz, J_2 = 16.0 Hz, 1H), 2.44 (s, 3H).

¹³C NMR (CDCl₃, 100MHz) δ 168.3, 163.6, 150.7, 145.5, 138.4, 135.6, 135.4, 134.9, 133.4, 131.8, 130.9, 129.6, 129.3, 129.0, 128.9, 126.4, 124.8, 123.6, 73.5, 43.4, 21.8.

IR (KBr) ν 2926, 2361, 1713, 1691, 1601, 1495, 958, 832, 713 cm⁻¹.

HRMS (ESI) m/z Calcd for $C_{29}H_{23}BrN_2O_7SNa$ $[M + Na]^+$: 645.0307; Found: 645.0304.



3-(4-Cyanophenyl)-*N*-methyl-5-oxo-5-phenyl-*N*-tosylpentanamide (4f')

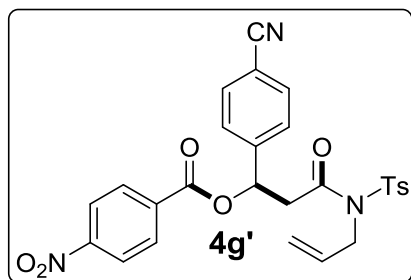
White solid, m. p. 153.5-155.0 °C (64 mg, 69 % yield), R_f = 0.3 (EtOAc/Petroleum ether 1/5).

¹H NMR (CDCl₃, 400MHz) δ 7.98-7.96 (m, 2H), 7.72-7.53 (m, 7H), 7.46-7.33 (m, 4H), 6.48 (dd, J_1 = 5.6 Hz, J_2 = 7.6 Hz, 1H), 3.65 (dd, J_1 = 7.6 Hz, J_2 = 16.8 Hz, 1H), 3.37 (dd, J_1 = 5.6 Hz, J_2 = 17.2 Hz, 1H), 3.19 (s, 3H), 2.46 (s, 3H).

¹³C NMR (CDCl₃, 100MHz) δ 169.1, 165.3, 145.5, 144.8, 135.8, 133.6, 132.7, 130.3, 129.8, 129.5, 128.6, 127.5, 127.3, 118.6, 112.4, 72.1, 43.5, 33.2, 21.8.

IR (KBr) ν 2947, 2360, 1716, 1683, 1603, 1497, 982, 847, 714 cm⁻¹.

HRMS (ESI) m/z Calcd for $C_{25}H_{22}N_2O_5SNa$ $[M + Na]^+$: 485.1147; Found: 485.1155.



3-((*N*-Allyl-4-methylphenyl)sulfonamido)-1-(4-cyanophenyl)-3-oxopropyl

4-nitrobenzoate (4g')

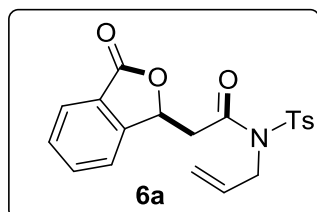
White solid, m. p. 186.5-188.0 °C (54 mg, 51 % yield), R_f = 0.3 (EtOAc/Petroleum ether 1/4).

1H NMR ($CDCl_3$, 400MHz) δ 8.26-8.09 (m, 4H), 7.76-7.32 (m, 8H), 6.47 (dd, J_1 = 5.2 Hz, J_2 = 8.4 Hz, 1H), 5.80-5.70 (m, 1H), 5.18-5.12 (m, 2H), 4.39-4.37 (m, 2H), 3.57 (dd, J_1 = 8.0 Hz, J_2 = 16.8 Hz, 1H), 3.24 (dd, J_1 = 5.2 Hz, J_2 = 16.8 Hz, 1H), 2.45 (s, 3H).

^{13}C NMR ($CDCl_3$, 100MHz) δ 168.4, 163.4, 150.8, 145.6, 143.8, 136.2, 134.9, 132.7, 132.1, 130.9, 130.1, 127.8, 127.4, 123.7, 118.7, 118.3, 112.7, 73.0, 48.7, 42.9, 21.8.

IR (KBr) ν 2970, 2361, 2224, 1731, 1602, 1528, 1353, 831, 724 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{27}H_{23}N_3O_7SNa$ $[M + Na]^+$: 556.1154; Found: 556.1152.



N-Allyl-2-(3-oxo-1,3-dihydroisobenzofuran-1-yl)-*N*-tosylacetamide (6a)

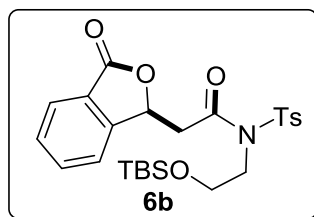
White solid, m. p. 197.5-199.1 °C (57 mg, 74 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

1H NMR ($CDCl_3$, 400MHz) δ 7.87-7.76 (m, 3H), 7.64-7.32 (m, 5H), 5.92 (t, J = 6.4 Hz, 1H), 5.90-5.80 (m, 1H), 5.27-5.21 (m, 2H), 4.51-4.41 (m, 2H), 3.30 (dd, J_1 = 6.4 Hz, J_2 = 17.2 Hz, 1H), 3.14 (dd, J_1 = 6.4 Hz, J_2 = 17.2 Hz, 1H), 2.44 (s, 3H).

^{13}C NMR ($CDCl_3$, 100MHz) δ 169.9, 169.0, 149.0, 145.5, 136.0, 134.5, 132.3, 130.1, 129.7, 128.0, 125.9, 122.7, 118.8, 77.0, 48.8, 41.7, 21.8.

IR (KBr) ν 2928, 2361, 1699, 1602, 1528, 1462, 927, 864, 741 cm^{-1} .

HRMS (ESI) m/z Calcd for $C_{20}H_{19}NO_5SNa$ $[M + Na]^+$: 408.0882; Found: 408.0885.



***N*-(2-((*tert*-Butyldimethylsilyl)oxy)ethyl)-2-(3-oxo-1,3-dihydroisobenzofuran-1-yl)-*N*-tosylacetamide (6b)**

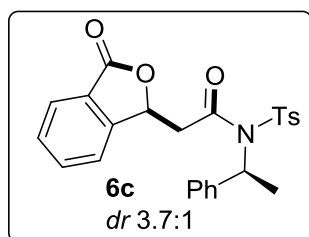
White solid, m. p. 187.5-188.0 °C (71 mg, 71 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.90- 7.34 (m, 8H), 5.96 (t, J = 6.4 Hz, 1H), 3.94-3.91 (m, 2H), 3.80-3.78 (m, 2H), 3.20 (dd, J_1 = 6.4 Hz, J_2 = 17.2 Hz, 1H), 3.04 (dd, J_1 = 6.4 Hz, J_2 = 17.6 Hz, 1H), 2.42 (s, 3H), 0.84 (s, 9H), 0.02 (s, 6H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.0, 169.3, 149.0, 145.6, 135.5, 134.5, 130.3, 129.7, 127.4, 125.9, 125.9, 122.6, 77.1, 59.8, 46.3, 42.1, 33.2, 25.9, 21.8, -2.3.

IR (KBr) ν 2942, 2361, 1702, 1604, 1525, 1453, 946, 856, 742 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{25}\text{H}_{33}\text{NO}_6\text{SSiNa}$ [$\text{M} + \text{Na}$] $^+$: 526.1696; Found: 526.1692.



2-(3-Oxo-1,3-dihydroisobenzofuran-1-yl)-*N*-((*S*)-1-phenylethyl)-*N*-tosylacetamide (6c)

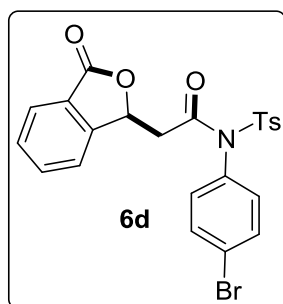
White solid, m. p. 145.8-147.1 °C (66 mg, 73 % yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.95-7.47 (m, 8H), 7.39-7.21 (m, 5H), 5.87-5.63 (m, 2H), 3.34-3.27 (m, 1H), 3.13-2.90 (m, 1H), 2.47 and 2.45 (s, 3H), 1.86 and 1.76 (d, J = 7.2 Hz, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.1 and 170.0, 169.5 and 169.2, 149.1, 145.5, 139.6 and 139.4, 136.3, 135.0, 134.3, 131.5, 130.3 and 130.1, 128.6 and 128.5, 128.1 and 127.9, 127.6 and 127.4, 126.9 and 126.7, 124.0, 122.8 and 122.7, 77.4 and 77.3, 57.6 and 57.0, 43.4 and 43.1, 21.8, 18.3 and 17.2.

IR (KBr) ν 2971, 2360, 1761, 1714, 1604, 1459, 934, 888, 753 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{25}\text{H}_{23}\text{NO}_5\text{SNa}$ [$\text{M} + \text{Na}$] $^+$: 472.1195; Found: 472.1196.



***N*-(4-Bromophenyl)-2-(3-oxo-1,3-dihydroisobenzofuran-1-yl)-*N*-tosylacetamide
(6d)**

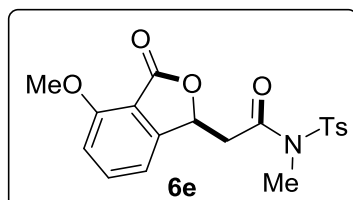
White solid, m. p. 220.3-221.2 °C (51 mg, 51% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl₃, 400MHz) δ 7.93-7.83 (m, 3H), 7.64-7.38 (m, 7H), 7.10-7.08 (m, 2H), 5.85 (t, J = 6.4 Hz, 1H), 2.72 (dd, J_1 = 6.8 Hz, J_2 = 16.8 Hz, 1H), 2.57-2.50 (m, 4H).

^{13}C NMR (CDCl₃, 100MHz) δ 169.7, 168.5, 148.6, 145.9, 135.4, 134.6, 134.6, 133.5, 131.7, 129.9, 129.8, 129.4, 126.0, 125.7, 125.0, 122.5, 76.7, 42.1, 21.9.

IR (KBr) ν 2926, 2360, 1761, 1699, 1600, 1478, 9003, 885, 742 cm⁻¹.

HRMS (ESI) m/z Calcd for C₂₃H₁₈BrNO₅SNa [M + Na]⁺: 521.9987; Found: 521.9986.



**2-(4-Methoxy-3-oxo-1,3-dihydroisobenzofuran-1-yl)-*N*-methyl-*N*-tosylacetamide
(6e)**

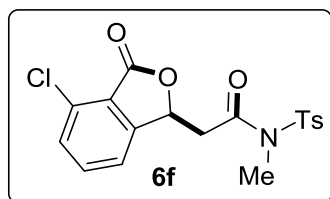
White solid, m. p. 197.5-198.4 °C (44 mg, 56% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl₃, 400MHz) δ 7.78-7.72 (m, 3H), 7.35-7.33 (m, 2H), 7.04-7.01 (m, 1H), 7.89-7.88 (m, 1H), 5.87 (t, J = 6.4 Hz, 1H), 3.86 (s, 3H), 3.40 (dd, J_1 = 6.4 Hz, J_2 = 17.6 Hz, 1H), 3.2-3.23 (m, 4H), 2.44 (s, 3H).

^{13}C NMR (CDCl₃, 100MHz) δ 169.7, 169.5, 165.0, 151.9, 145.6, 135.6, 130.3, 127.5, 127.4, 118.1, 117.1, 106.6, 76.5, 56.0, 42.2, 33.2, 21.8.

IR (KBr) ν 2921, 2360, 1694, 1593, 1518, 1454, 962, 832, 736 cm⁻¹.

HRMS (ESI) m/z Calcd for C₁₉H₁₉NO₆SNa [M + Na]⁺: 412.0831; Found: 412.0835.



2-(4-Chloro-3-oxo-1,3-dihydroisobenzofuran-1-yl)-N-methyl-N-tosylacetamide

(6f)

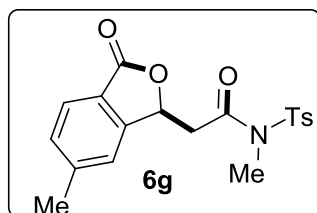
White solid, m. p. 168.5-169.8 °C (64 mg, 82% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.73-7.34 (m, 7H), 5.90 (t, J = 6.4 Hz, 1H), 3.42 (dd, J_1 = 6.8 Hz, J_2 = 17.6 Hz, 1H), 3.33-3.28 (m, 4H), 2.45 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.1, 166.9, 151.4, 145.7, 135.5, 135.4, 133.6, 131.1, 130.4, 127.4, 122.7, 121.1, 75.8, 42.0, 33.2, 21.8.

IR (KBr) ν 2959, 2360, 1769, 1704, 1597, 1528, 1464, 998, 878, 773 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{18}\text{H}_{16}\text{ClNO}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 416.0335; Found: 416.0335.



N-Methyl-2-(6-methyl-3-oxo-1,3-dihydroisobenzofuran-1-yl)-N-tosylacetamide

(6g)

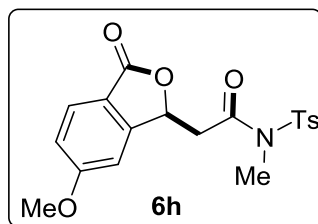
White solid, m. p. 187.5-188.7 °C (62 mg, 84% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.76-7.72 (m, 3H), 7.35-7.32 (m, 3H), 7.23 (s, 1H), 5.89 (t, J = 6.4 Hz, 1H), 3.38 (dd, J_1 = 6.8 Hz, J_2 = 17.6 Hz, 1H), 3.31-3.25 (m, 4H), 2.45 (s, 3H), 2.44 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.0, 169.4, 149.6, 145.8, 145.6, 135.6, 130.8, 130.3, 127.4, 125.6, 123.4, 122.9, 76.8, 42.2, 33.1, 22.2, 21.8.

IR (KBr) ν 2930, 2361, 1702, 1601, 1528, 1460, 922, 865, 733 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{19}\text{H}_{19}\text{NO}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 396.0882; Found: 396.0885.



2-(6-Methoxy-3-oxo-1,3-dihydroisobenzofuran-1-yl)-*N*-methyl-*N*-tosylacetamide

(6h)

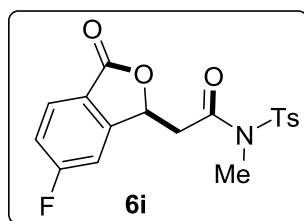
White solid, m. p. 168.5-169.1 °C (62 mg, 79% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.78-7.72 (m, 3H), 7.35-7.33 (m, 2H), 7.04-6.88 (m, 2H), 5.86 (t, J = 6.4 Hz, 1H), 3.86 (s, 3H), 3.40 (dd, J_1 = 6.8 Hz, J_2 = 17.6 Hz, 1H), 3.28-3.23 (m, 4H), 2.44 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.0, 169.5, 165.0, 151.9, 145.6, 135.6, 130.3, 127.5, 127.3, 118.1, 117.1, 106.5, 76.4, 56.0, 42.2, 33.1, 21.8.

IR (KBr) ν 2966, 2361, 1747, 1700, 1614, 1482, 1349, 930, 871, 708 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{19}\text{H}_{19}\text{NO}_6\text{SNa}$ $[\text{M} + \text{Na}]^+$: 412.0831; Found: 412.0835.



2-(6-Fluoro-3-oxo-1,3-dihydroisobenzofuran-1-yl)-*N*-methyl-*N*-tosylacetamide

(6i)

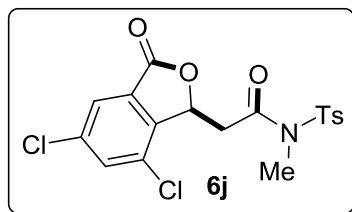
White solid, m. p. 174.6-176.0 °C (66 mg, 87% yield), R_f = 0.2 (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.88-7.72 (m, 3H), 7.36-7.34 (m, 2H), 7.24-7.11 (m, 2H), 5.89 (t, J = 6.8 Hz, 1H), 3.47 (dd, J_1 = 6.0 Hz, J_2 = 17.6 Hz, 1H), 3.29-3.22 (m, 4H), 2.45 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.2, 168.8, 166.7 (d, $J_{\text{C-F}}$ = 255 Hz), 151.9 (d, $J_{\text{C-F}}$ = 10 Hz), 145.7, 135.5, 130.3, 128.2 (d, $J_{\text{C-F}}$ = 11 Hz), 127.5, 122.0, 117.9 (d, $J_{\text{C-F}}$ = 24 Hz), 110.4 (d, $J_{\text{C-F}}$ = 25 Hz), 76.5, 41.9, 33.1, 21.8.

IR (KBr) ν 2936, 2361, 1707, 1645, 1539, 1482, 951, 829, 710 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{18}\text{H}_{16}\text{FNO}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 400.0631; Found: 400.0633.



2-(5,7-Dichloro-3-oxo-1,3-dihydroisobenzofuran-1-yl)-N-methyl-N-tosylacetamide (6j)

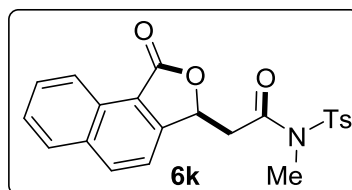
White solid, m. p. 201.5-203.0 °C (36 mg, 42% yield), $R_f = 0.2$ (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.76-7.72 (m, 3H), 7.35-7.32 (m, 3H), 5.89 (t, $J = 6.4$ Hz, 1H), 3.38 (dd, $J_1 = 6.8$ Hz, $J_2 = 17.6$ Hz, 1H), 3.31-3.25 (m, 4H), 2.45 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.1, 169.5, 149.7, 145.8, 145.7, 135.7, 130.9, 130.4, 127.5, 125.7, 123.5, 123.0, 76.8, 42.2, 33.1, 22.2.

IR (KBr) ν 2936, 2361, 1704, 1693, 1606, 1492, 921, 843, 713 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{18}\text{H}_{15}\text{Cl}_2\text{NO}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 449.9946; Found: 449.9943.



N-Methyl-2-(1-oxo-1,3-dihydronaphtho[1,2-c]furan-3-yl)-N-tosylacetamide (6k)

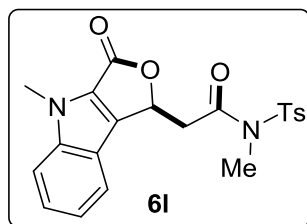
White solid, m. p. 171.5-173.1 °C (44 mg, 54% yield), $R_f = 0.2$ (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 8.90-8.97 (m, 1H), 8.12-7.96 (m, 2H), 7.76-7.63 (m, 4H), 7.50-7.31 (m, 3H), 6.04 (t, $J = 6.4$ Hz, 1H), 3.45 (dd, $J_1 = 6.8$ Hz, $J_2 = 17.6$ Hz, 1H), 3.38 (dd, $J_1 = 6.0$ Hz, $J_2 = 17.6$ Hz, 1H), 3.32 (s, 3H), 2.42 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 170.2, 169.4, 150.7, 145.6, 135.9, 135.6, 133.7, 130.3, 129.3, 129.3, 128.6, 127.7, 127.4, 123.7, 120.3, 118.9, 76.5, 42.0, 33.2, 21.8.

IR (KBr) ν 2926, 2361, 1747, 1698, 1606, 1565, 971, 872, 707 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{22}\text{H}_{19}\text{NO}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 432.0882; Found: 432.0885.



***N*-Methyl-2-(4-methyl-3-oxo-3,4-dihydro-1*H*-furo[3,4-*b*]indol-1-yl)-*N*-tosylacetamide (6l)**

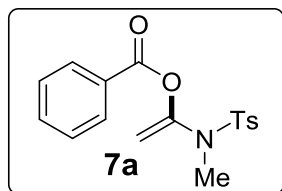
White solid, m. p. 199.1-200.5 °C (68 mg, 83% yield), $R_f = 0.2$ (EtOAc/Petroleum ether 1/4).

^1H NMR (CDCl_3 , 400MHz) δ 7.71-7.54 (m, 3H), 7.45-7.39 (m, 2H), 7.27 (d, $J = 8$ Hz, 2H), 7.18-7.14 (m, 1H), 6.02 (t, $J = 7.2$ Hz, 1H), 3.93 (s, 3H), 3.51 (dd, $J_1 = 6.0$ Hz, $J_2 = 17.6$ Hz, 1H), 3.34-3.27 (m, 4H), 2.43 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 169.6, 162.9, 145.4, 144.4, 136.4, 135.8, 130.2, 128.9, 127.5, 126.4, 122.1, 121.4, 120.4, 111.3, 75.2, 42.2, 33.1, 30.2, 21.8.

IR (KBr) ν 2927, 2360, 1746, 1695, 1604, 1564, 981, 864, 703 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{21}\text{H}_{20}\text{N}_2\text{O}_5\text{SNa}$ $[\text{M} + \text{Na}]^+$: 435.0991; Found: 435.0989.



1-((*N*,4-Dimethylphenyl)sulfonamido)vinyl benzoate (7a)

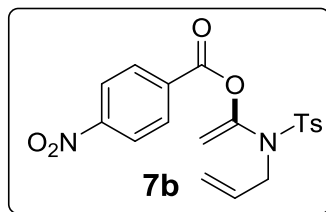
White solid, m. p. 65-67 °C (66 mg, 99 % yield)

^1H NMR (CDCl_3 , 400MHz) δ 7.89-7.75 (m, 4H), 7.62-7.58 (m, 1H), 7.45-7.41 (m, 2H), 7.28-7.26 (m, 2H), 5.04 (d, $J = 2.4$ Hz, 1H), 4.90 (d, $J = 2.4$ Hz, 1H), 3.11 (s, 3H), 2.40 (s, 3H).

^{13}C NMR (CDCl_3 , 100MHz) δ 164.1, 147.0, 144.1, 134.6, 133.8, 130.2, 129.6, 128.8, 128.5, 128.0, 101.7, 37.2, 21.6.

IR (KBr) ν 3062, 1748, 1651, 1595, 1353, 1220, 944, 727 cm^{-1} .

HRMS (ESI) m/z Calcd for $\text{C}_{17}\text{H}_{17}\text{NO}_4\text{SNa}$ $[\text{M} + \text{Na}]^+$: 354.0776; Found: 354.0775.



1-((*N*-Allyl-4-methylphenyl)sulfonamido)vinyl 4-nitrobenzoate (7b)

White solid, m. p. 114-116 °C (80 mg, 99 % yield)

¹H NMR (CDCl₃, 400MHz) δ 8.25-7.25 (m, 8H), 5.91-5.81 (m, 1H), 5.23-5.18 (m, 3H), 5.00 (d, *J* = 2.4 Hz, 1H), 4.07 (d, *J* = 6.4 Hz, 2H), 2.38 (s, 3H).

¹³C NMR (CDCl₃, 100MHz) δ 161.9, 151.0, 144.3, 135.8, 134.3, 132.4, 131.3, 129.8, 127.9, 123.7, 119.6, 104.7, 52.7, 21.7.

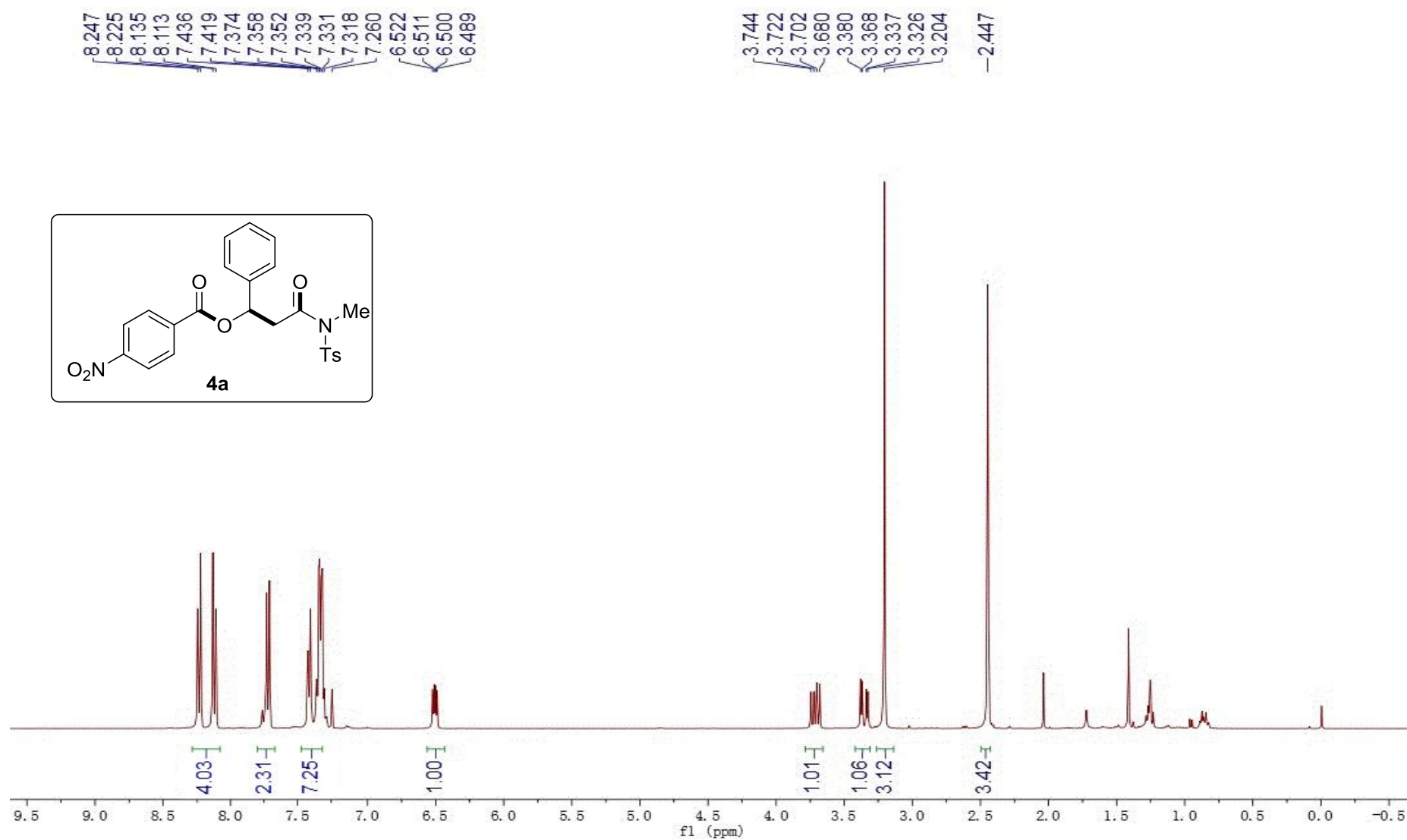
IR (KBr) ν 2927, 2360, 1746, 1695, 1604, 1564, 981, 864, 703 cm⁻¹.

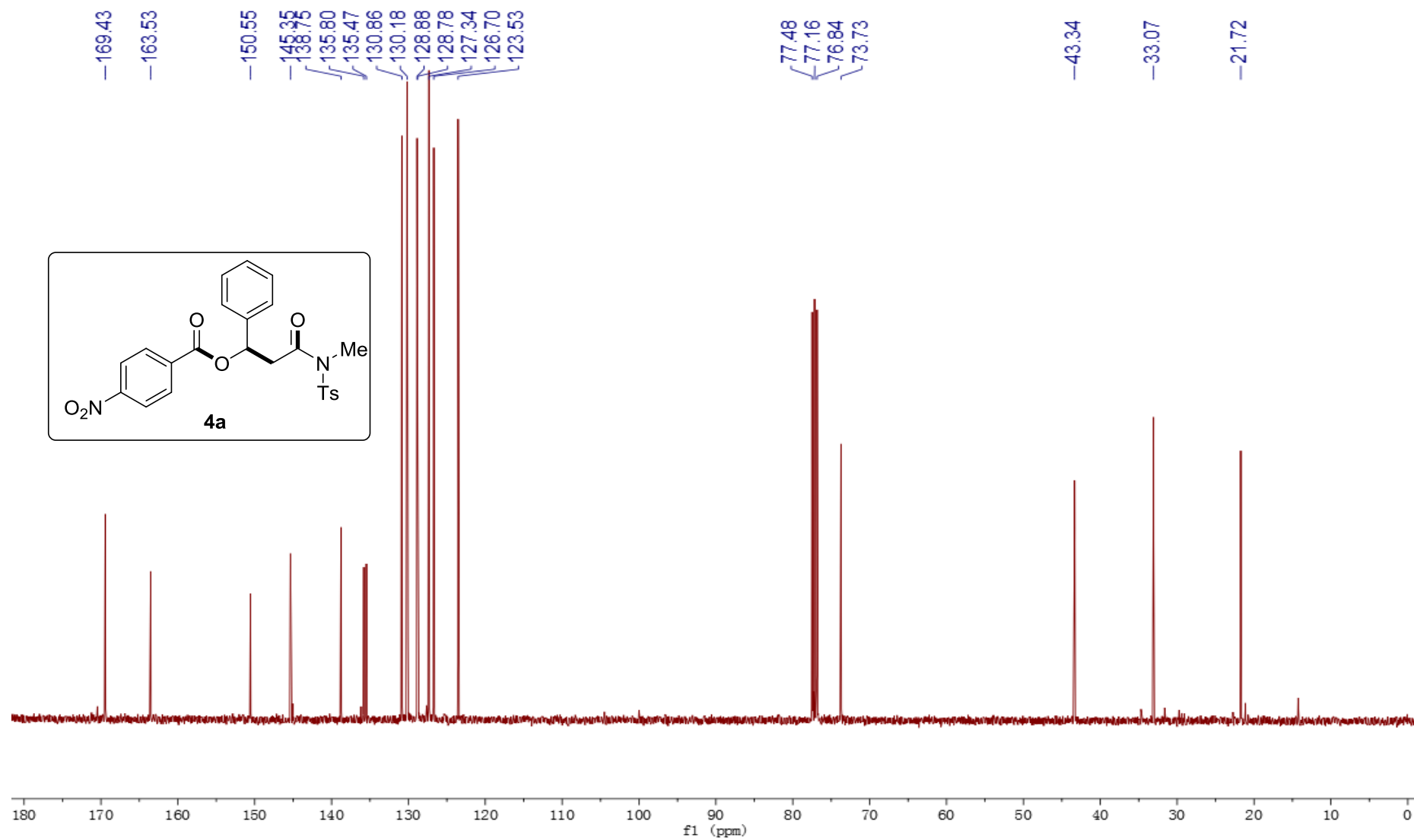
HRMS (ESI) m/z Calcd for C₁₉H₁₈N₂O₆SNa [M + Na]⁺: 425.0783; Found: 425.0788.

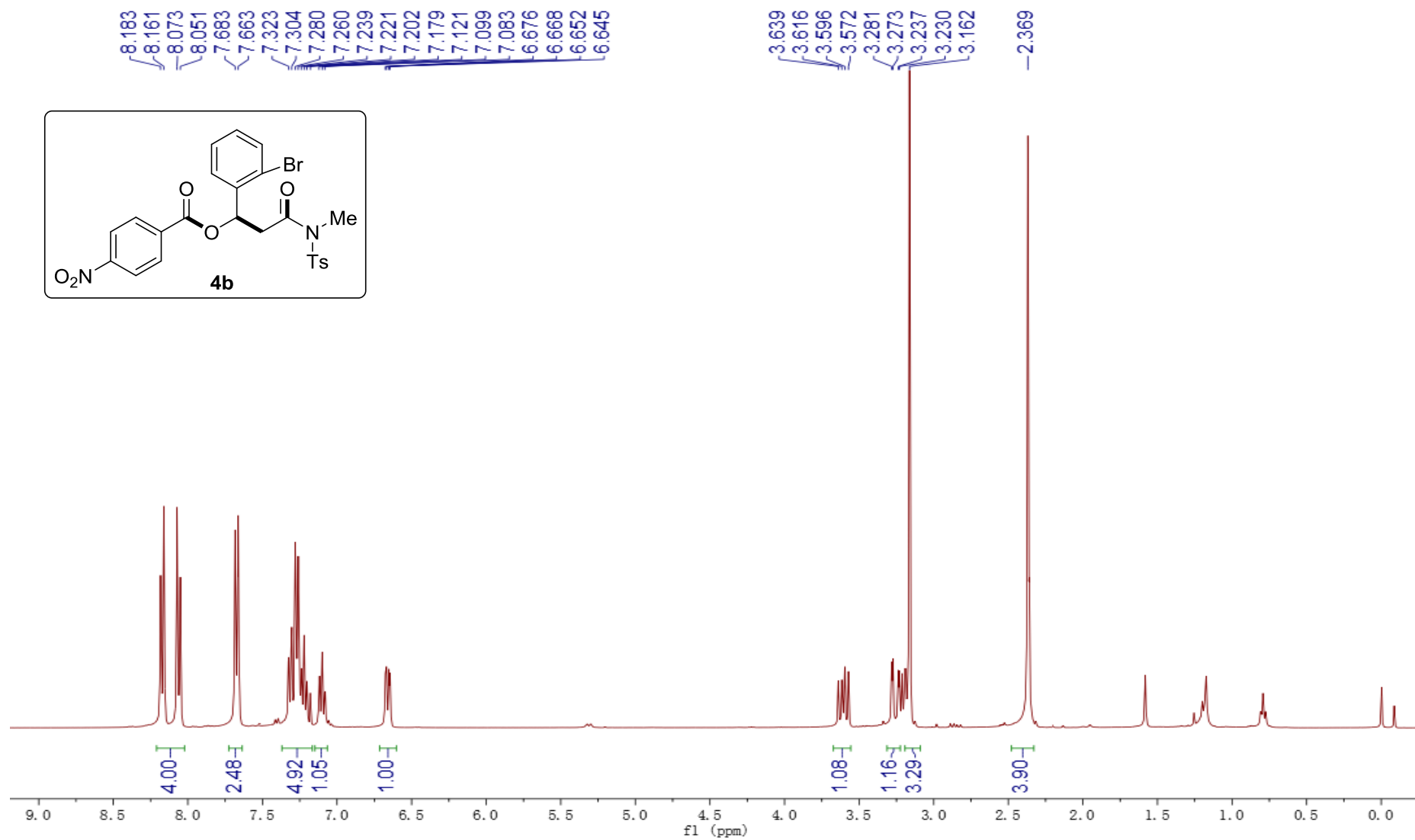
7. References

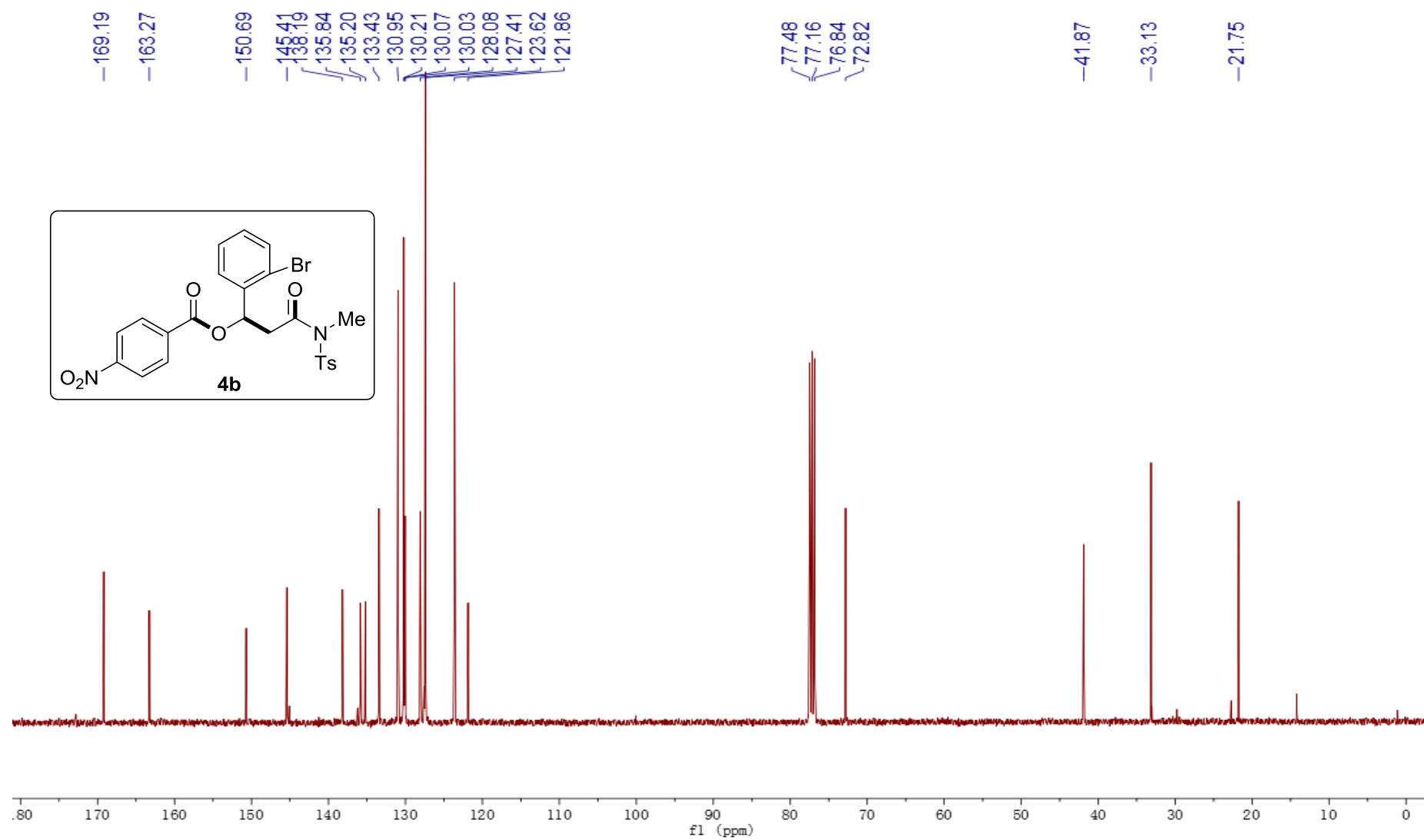
- (1) S. J. Mansfield, C. D. Campbell, M. W. Jones, E. A. Anderson, *Chem. Commun.* **2015**, 51, 3316.
- (2) C. Vogel", U. Jeschke, S. Kramer, A.-J. Ott, *Liebigs Ann.lRecuei1* **1997**, 737.
- (3) C.-W. Chen, p. Beak, *J. Org. Chem.* **1986**, 51, 17.
- (4) S. K. Mamidyala, S. Ramu, J. X. Huang, A. A. B. Robertson, M. A. Cooper, *Bioorg. Med. Chem. Lett.* **2013**, 23, 1667.
- (5) M. Font, A. Monge, A. Cuartero, A. Elorriaga, *Eur. J. Med. Chem.* **1995**, 30, 963.
- (6) S. P. Bew, G. D. Hiatt-Gipson, J. A. Lovell, C. Poullain, *Org. Lett.* **2012**, 14, 459.

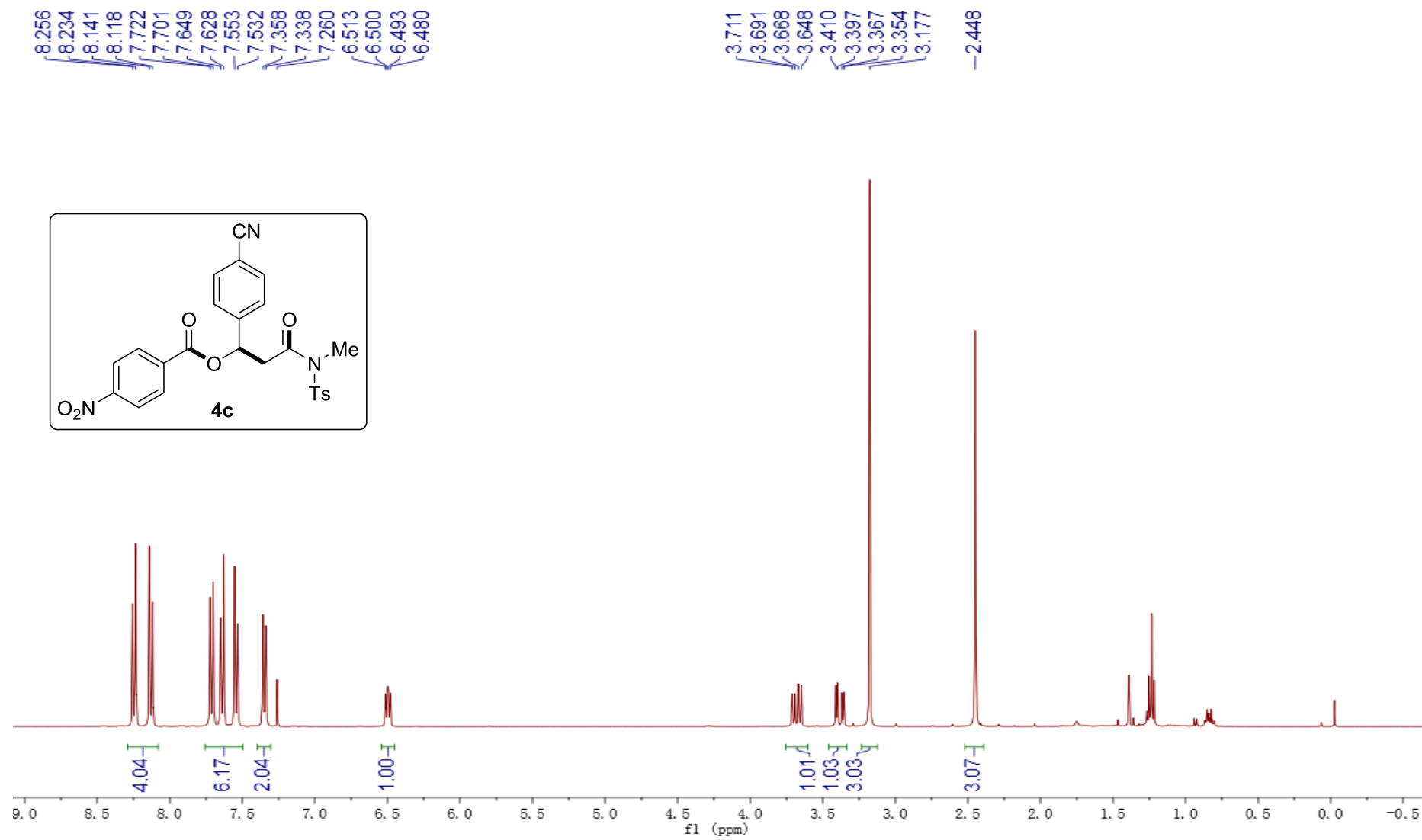
8. Copies of NMR Spectra

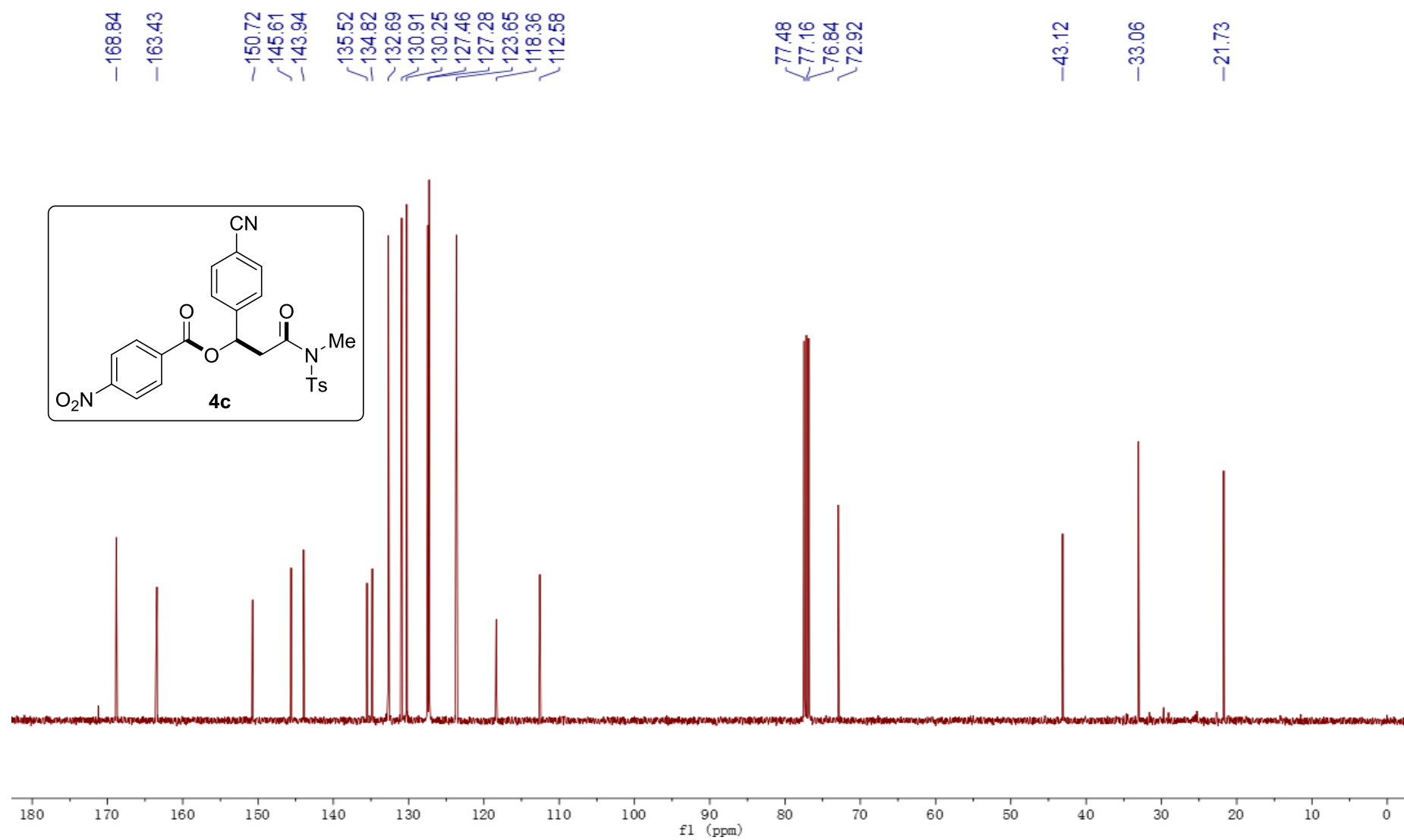


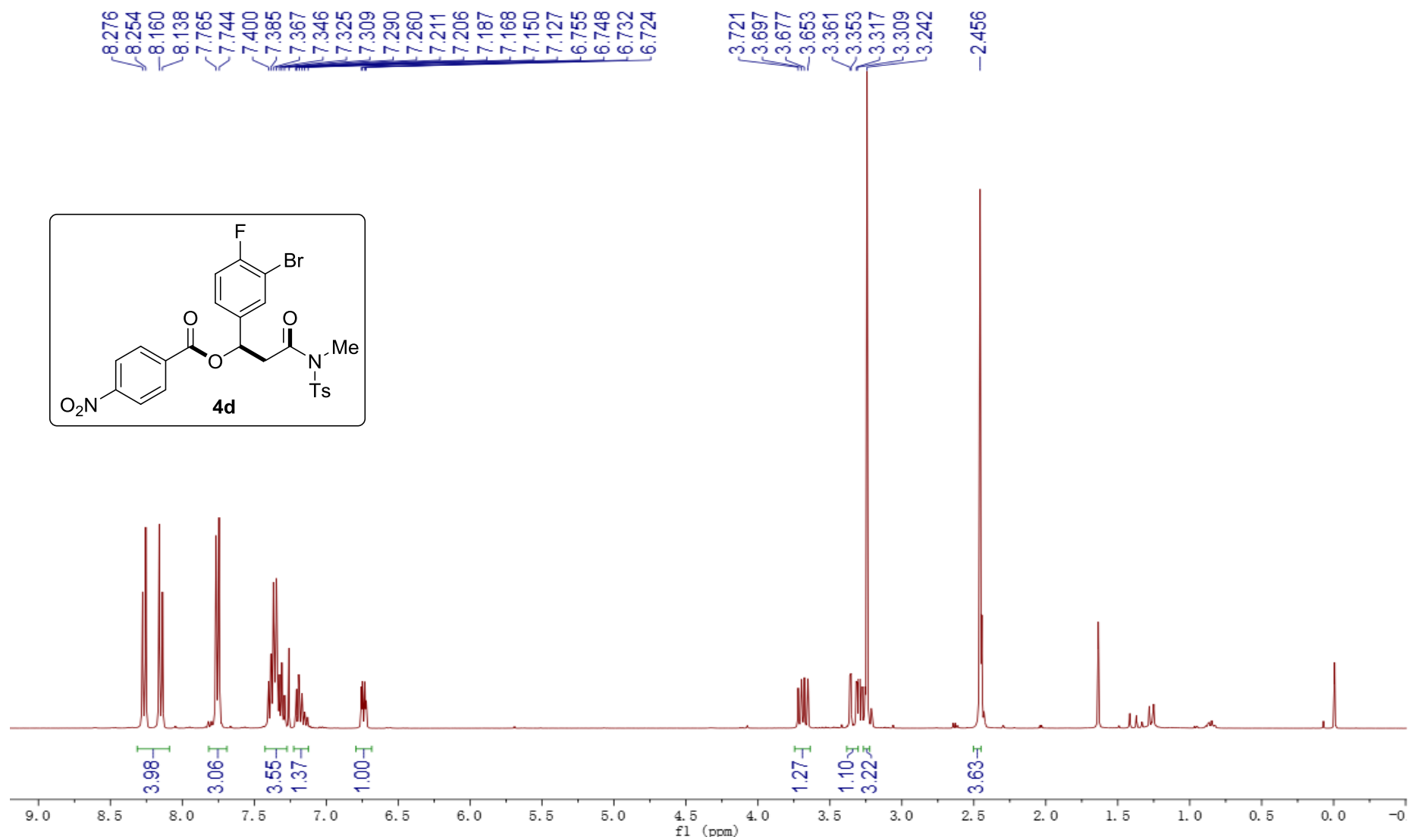


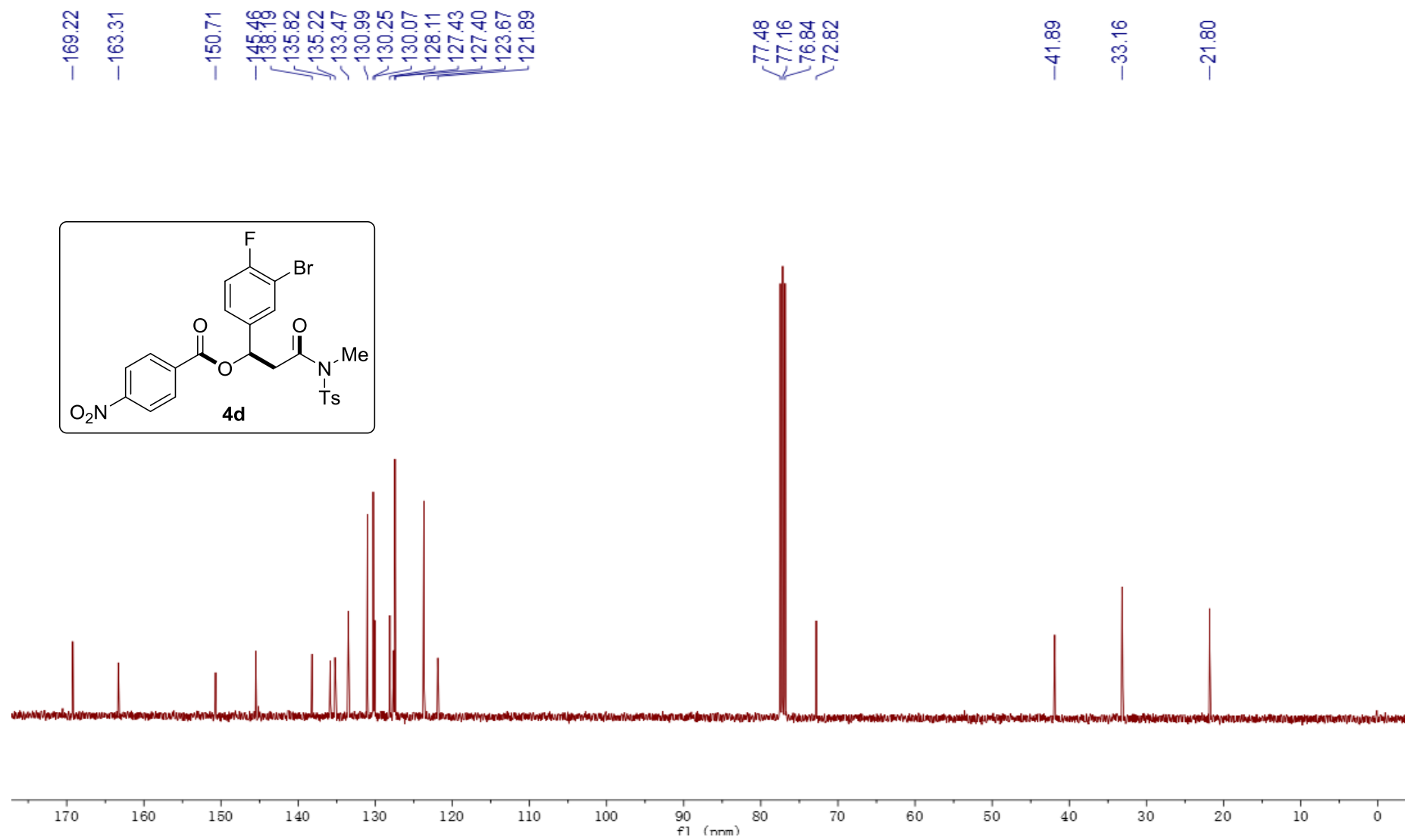


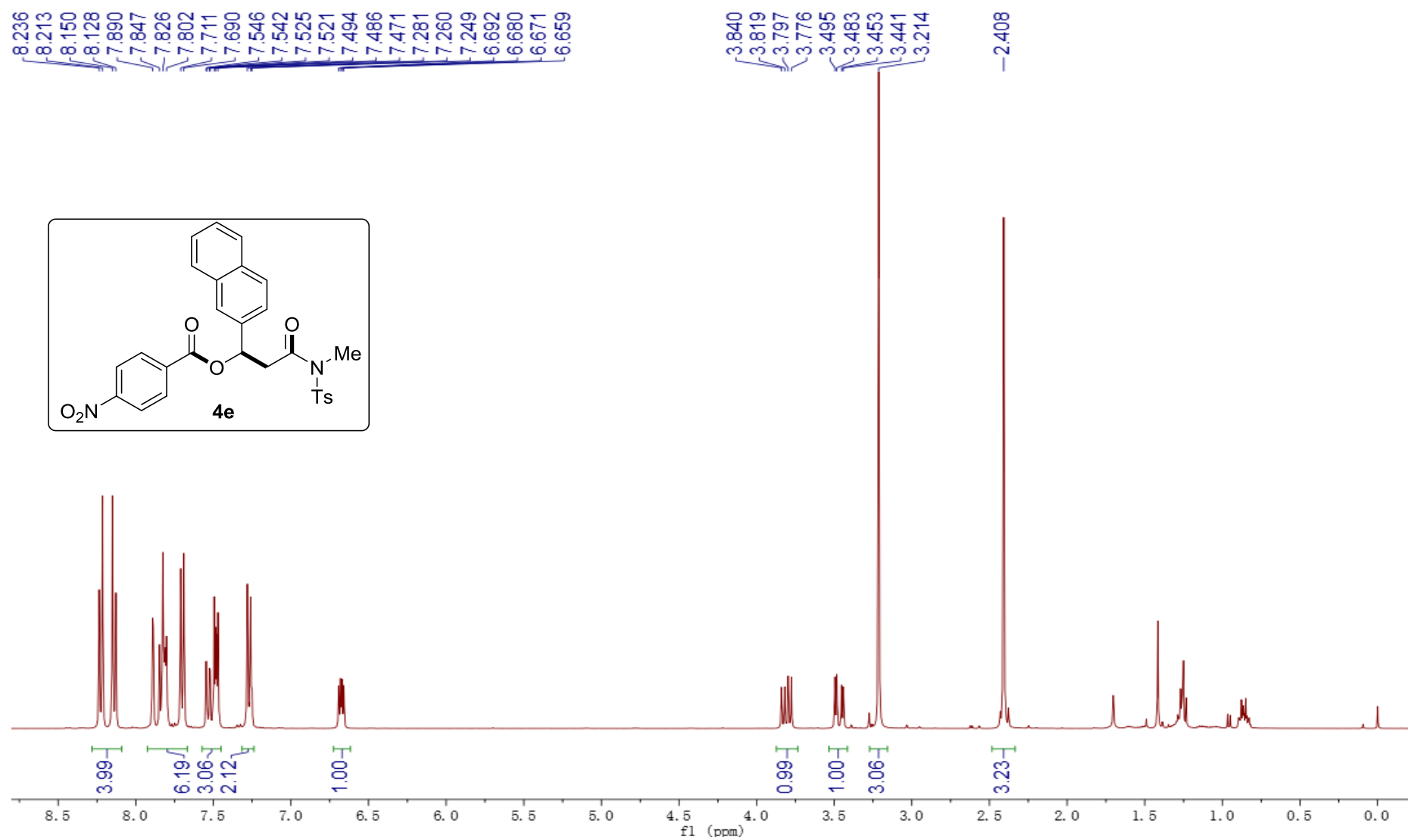


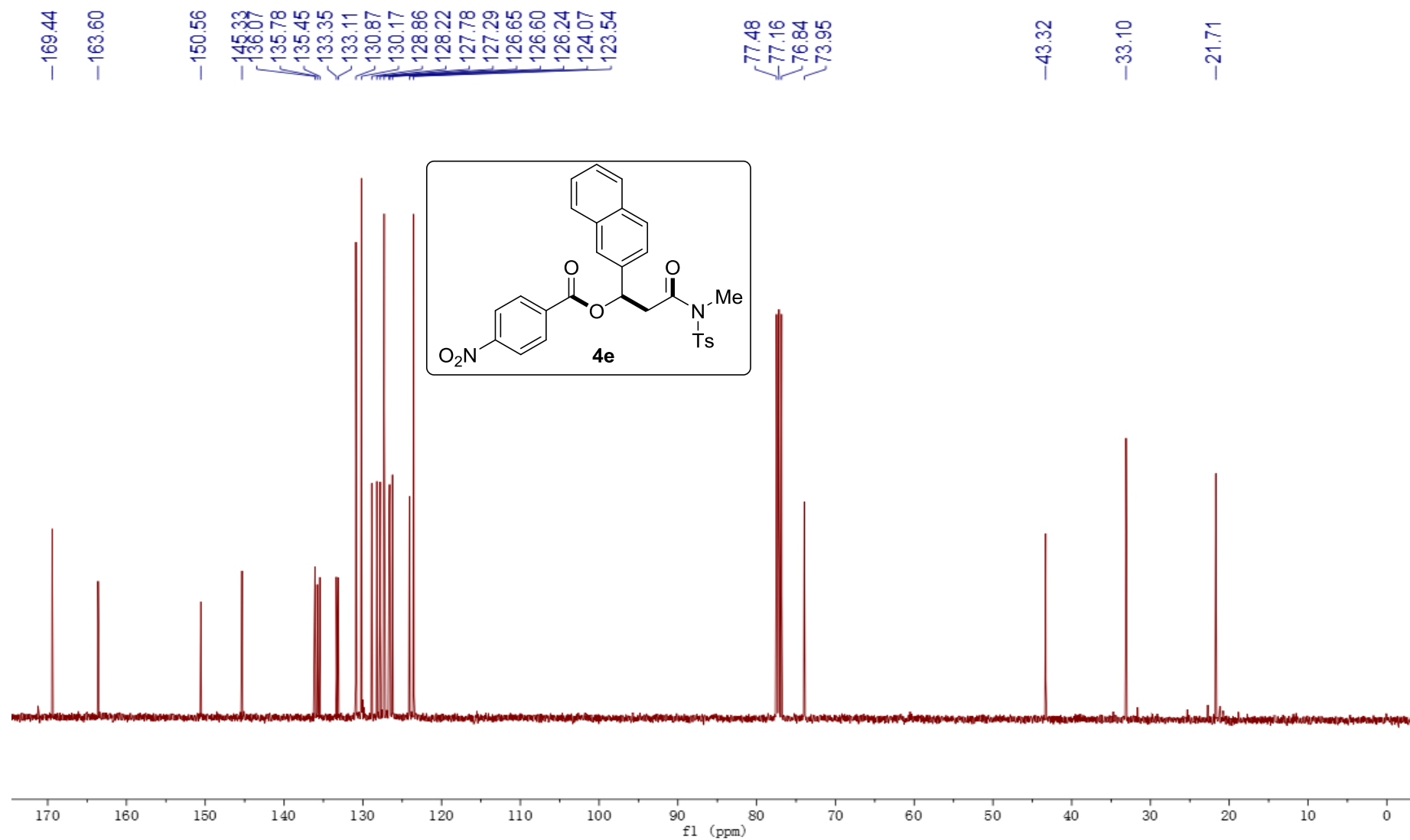


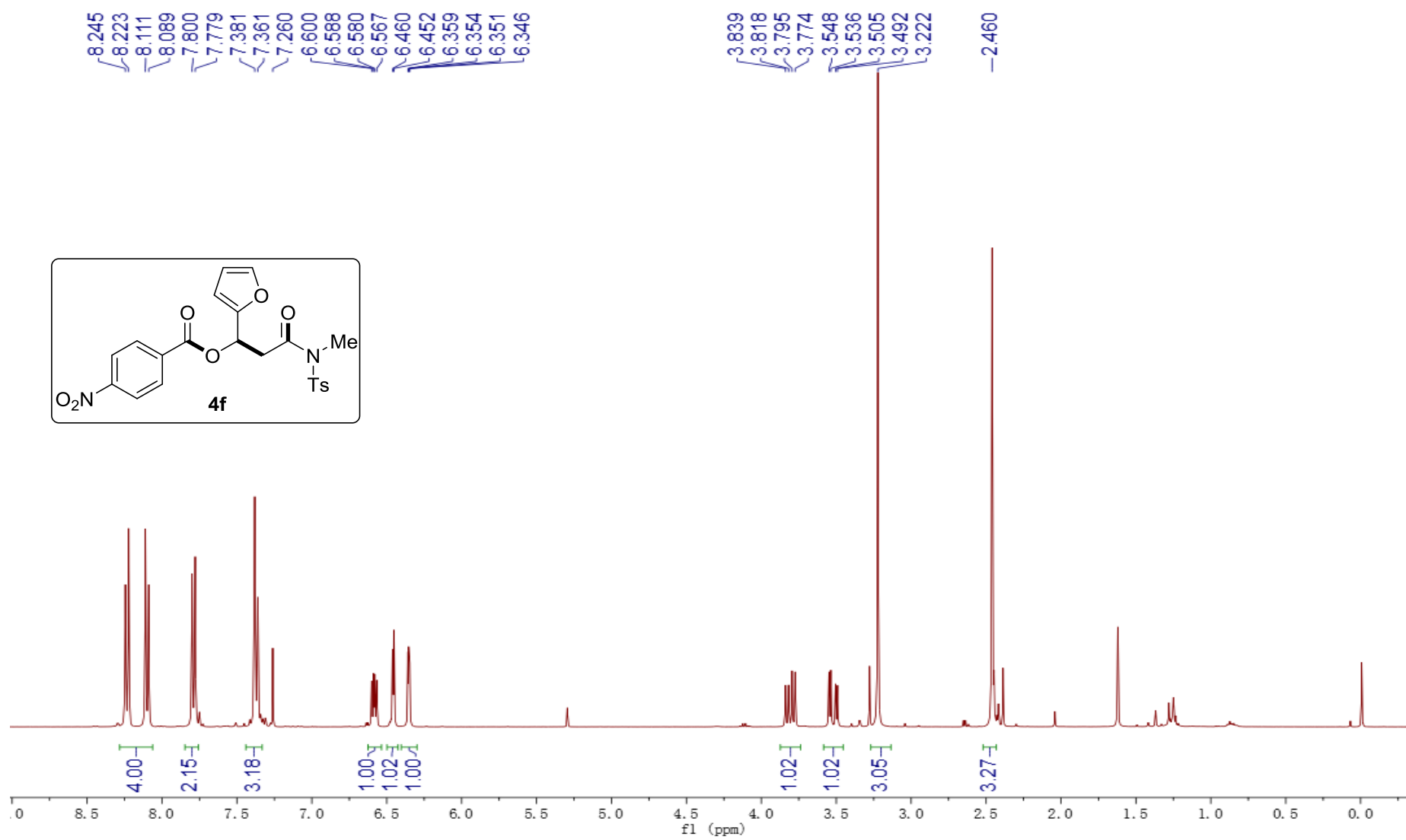


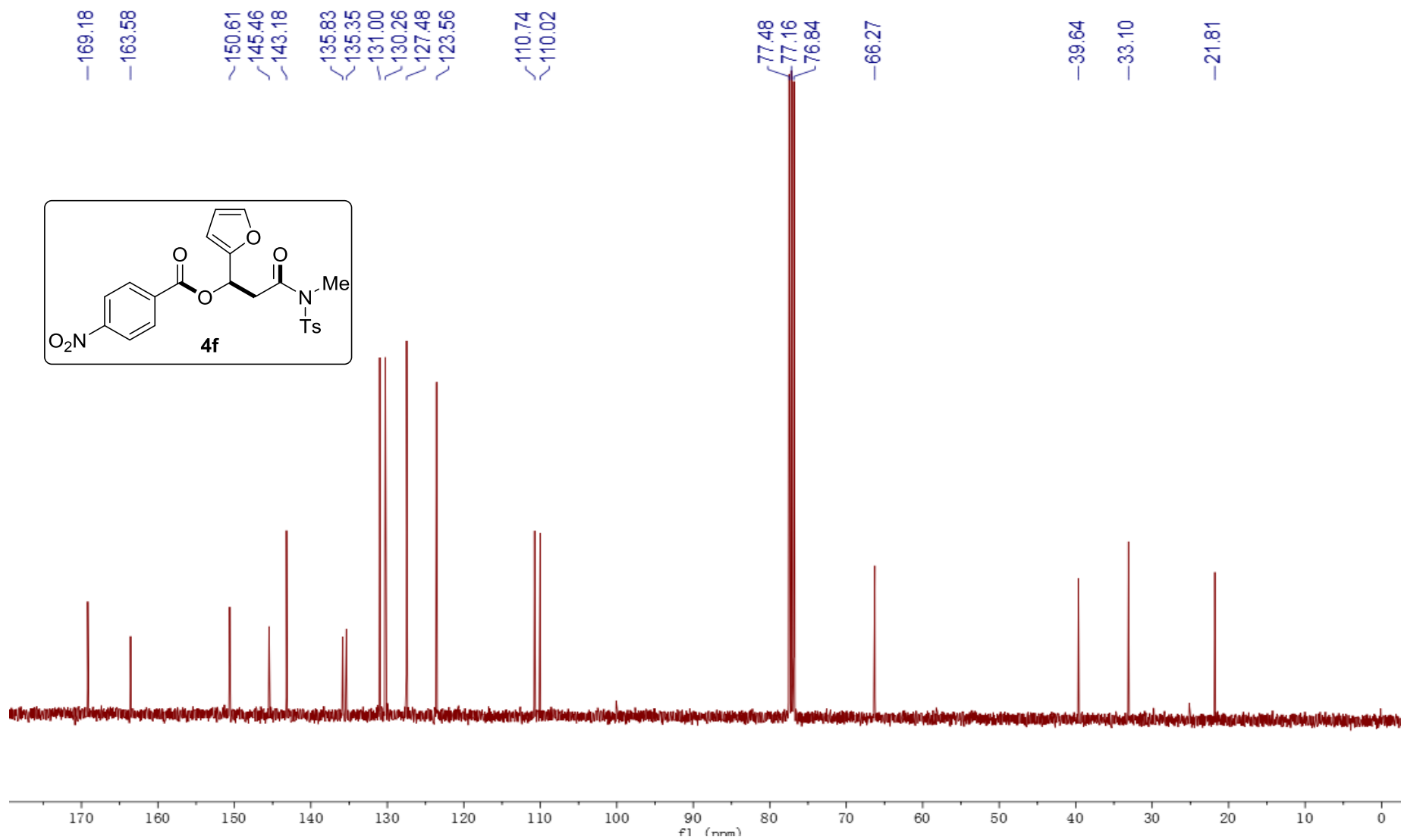


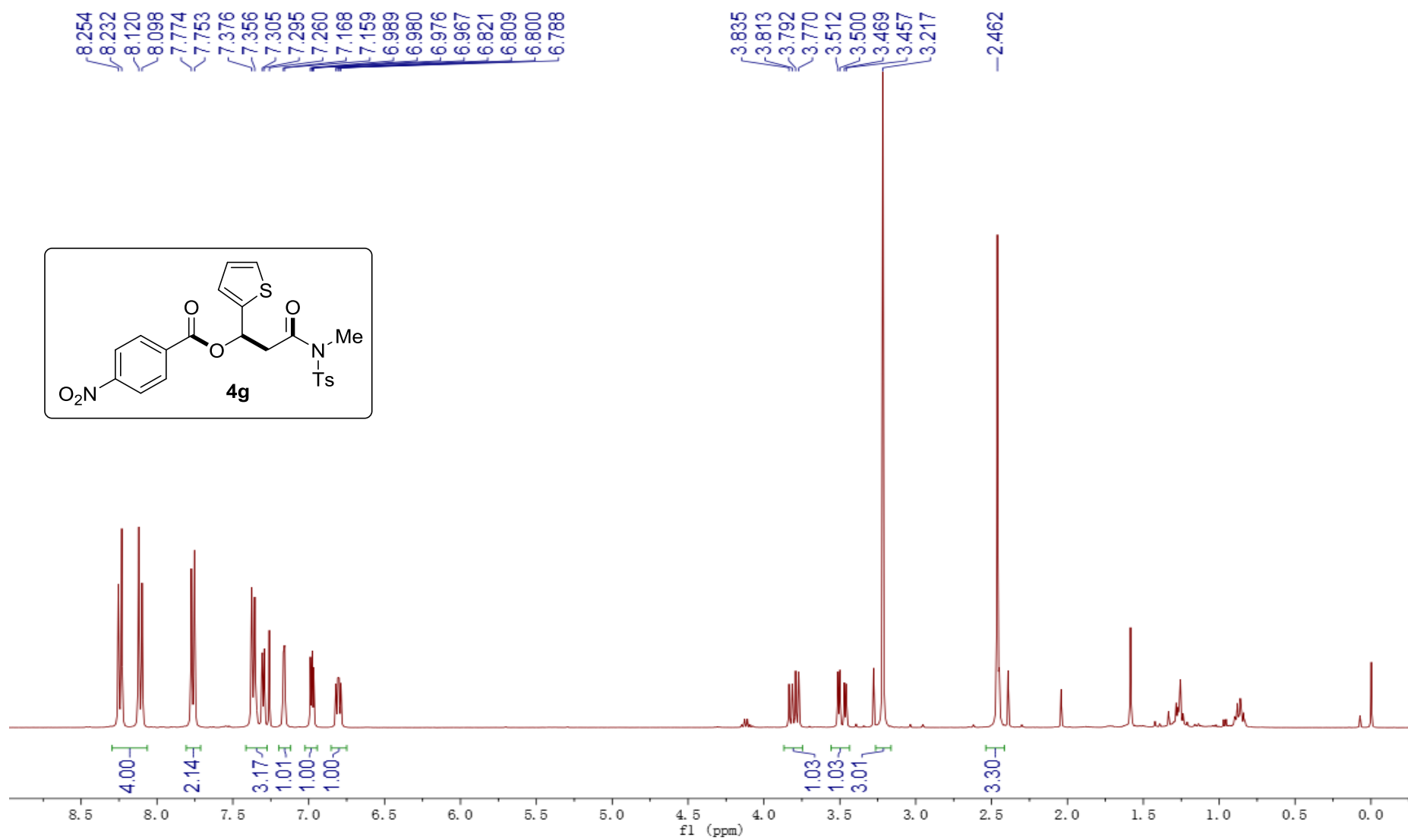


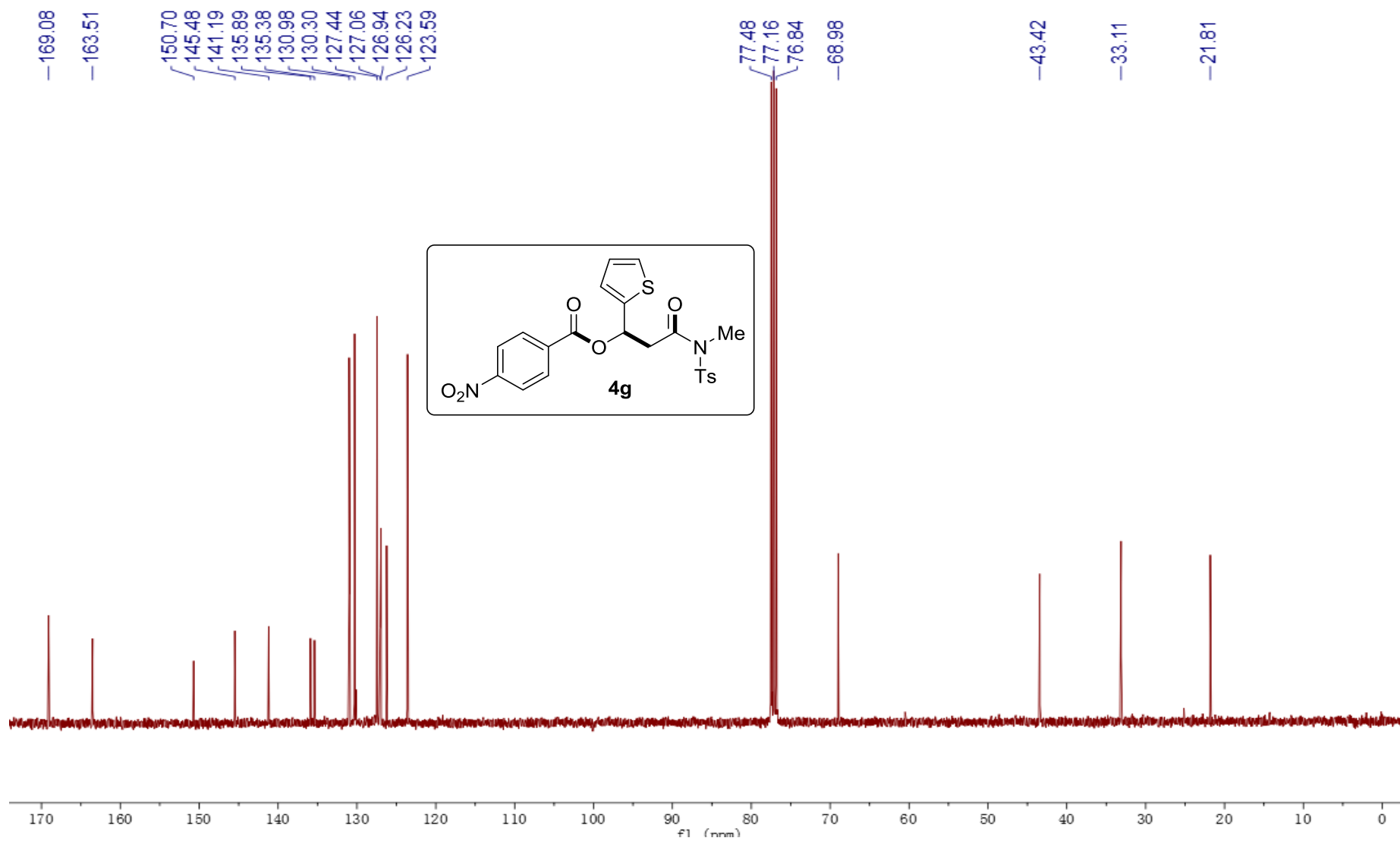


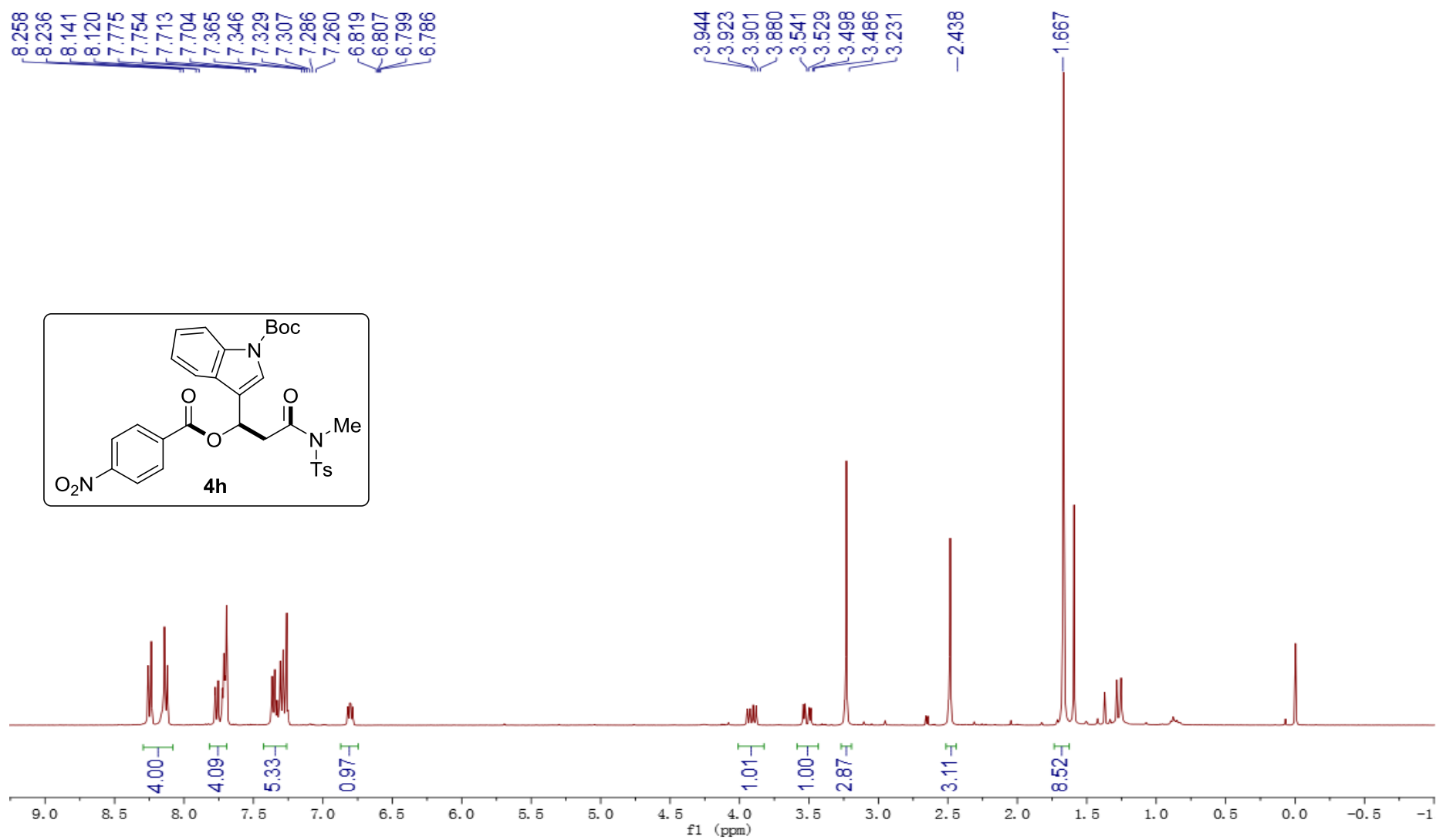


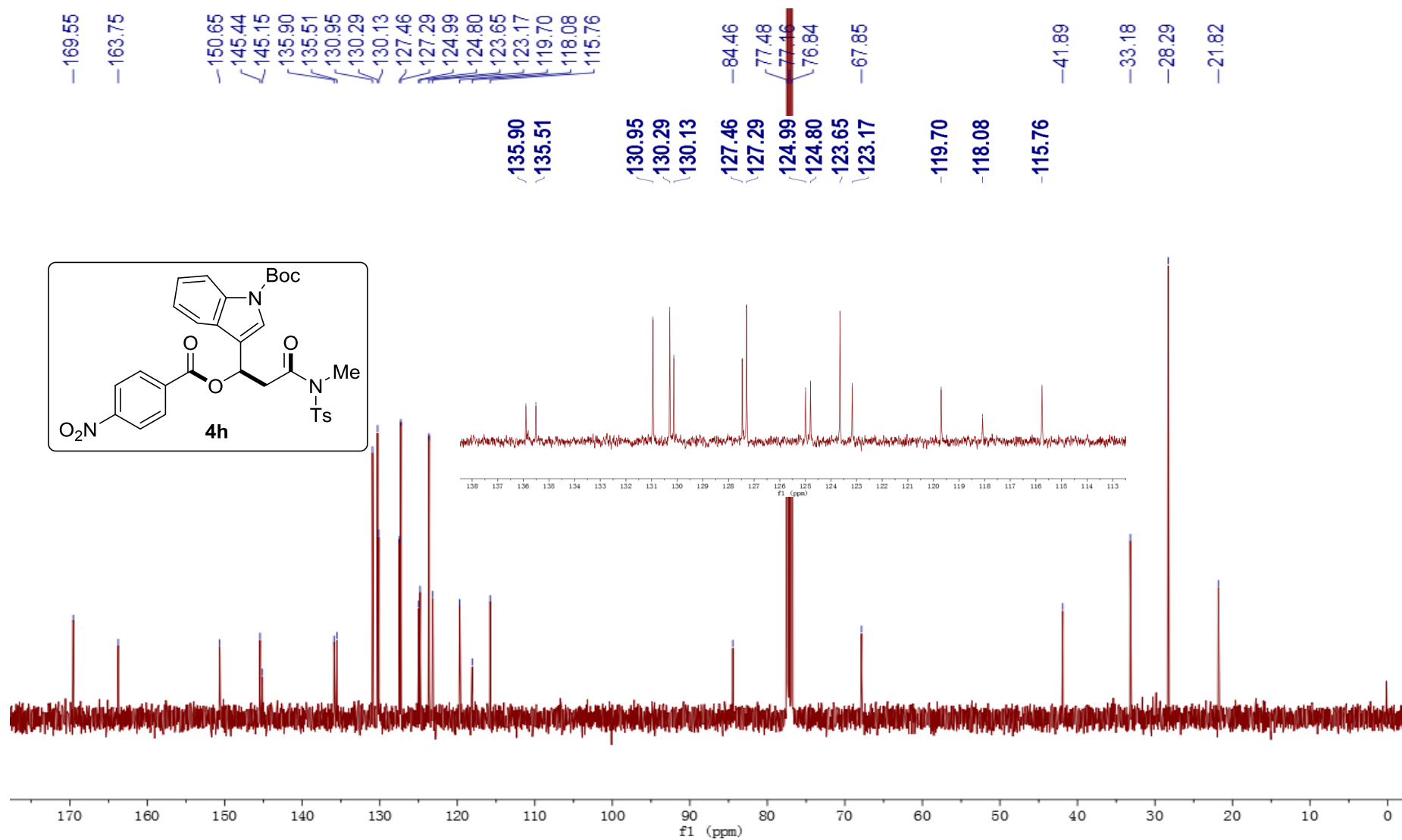


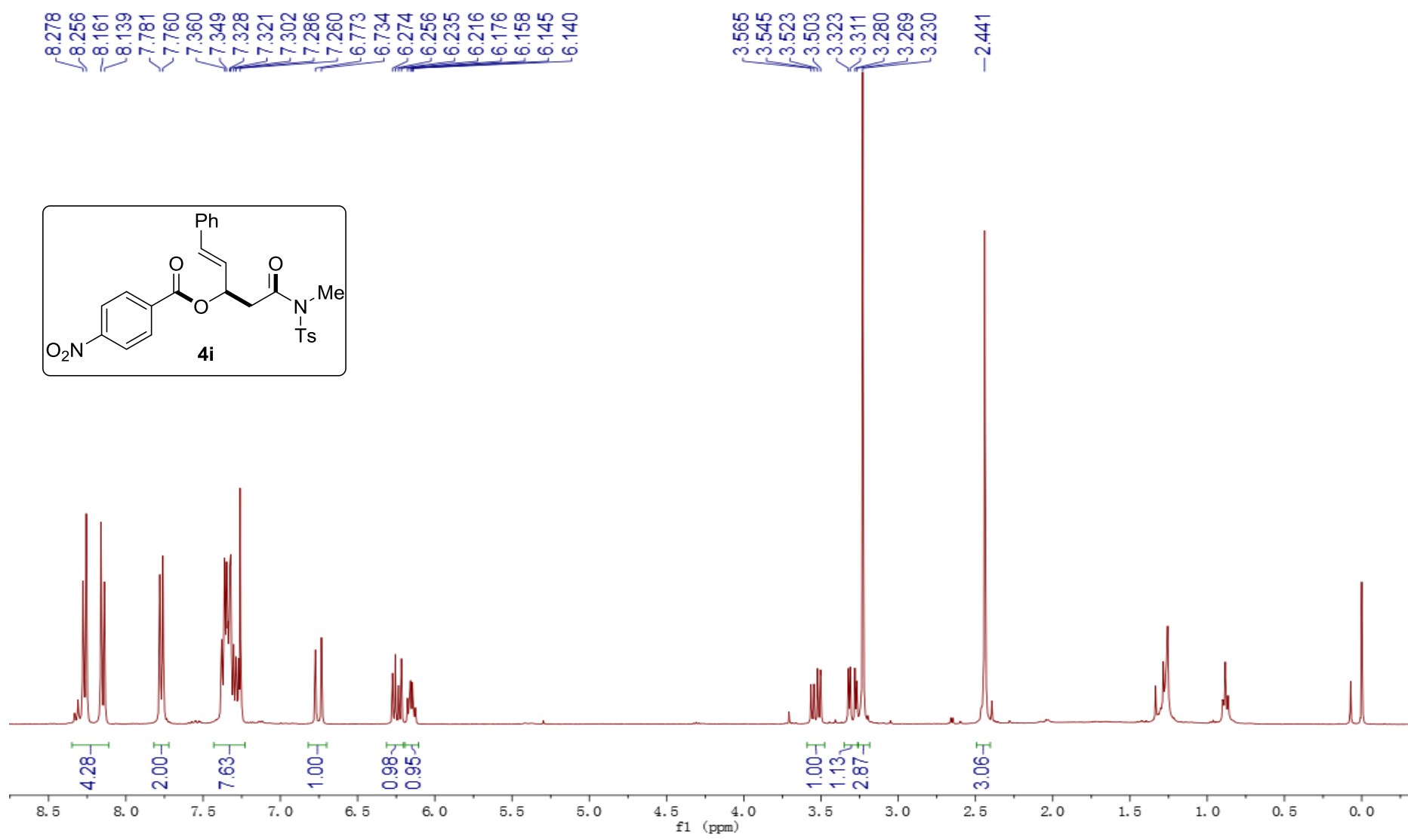


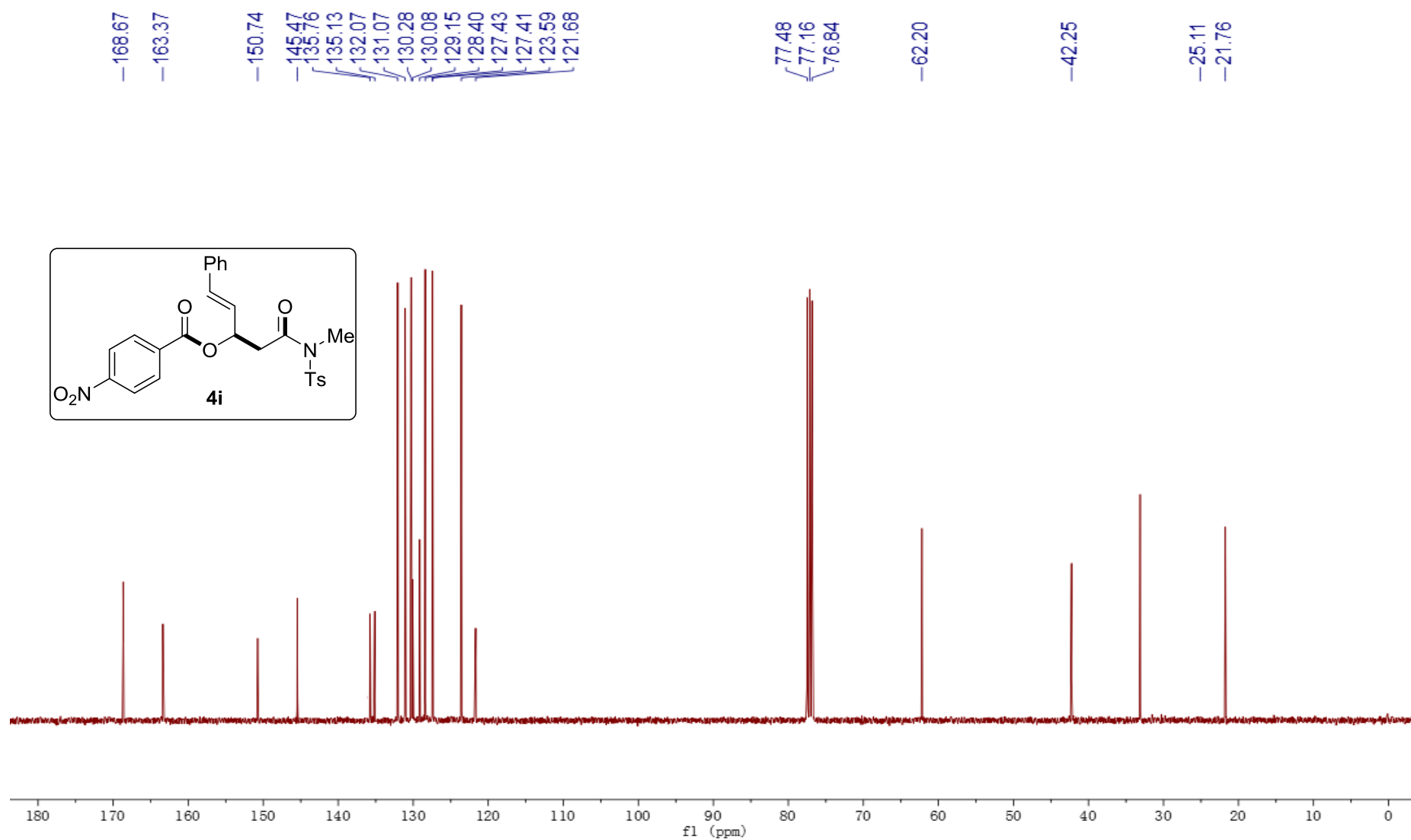


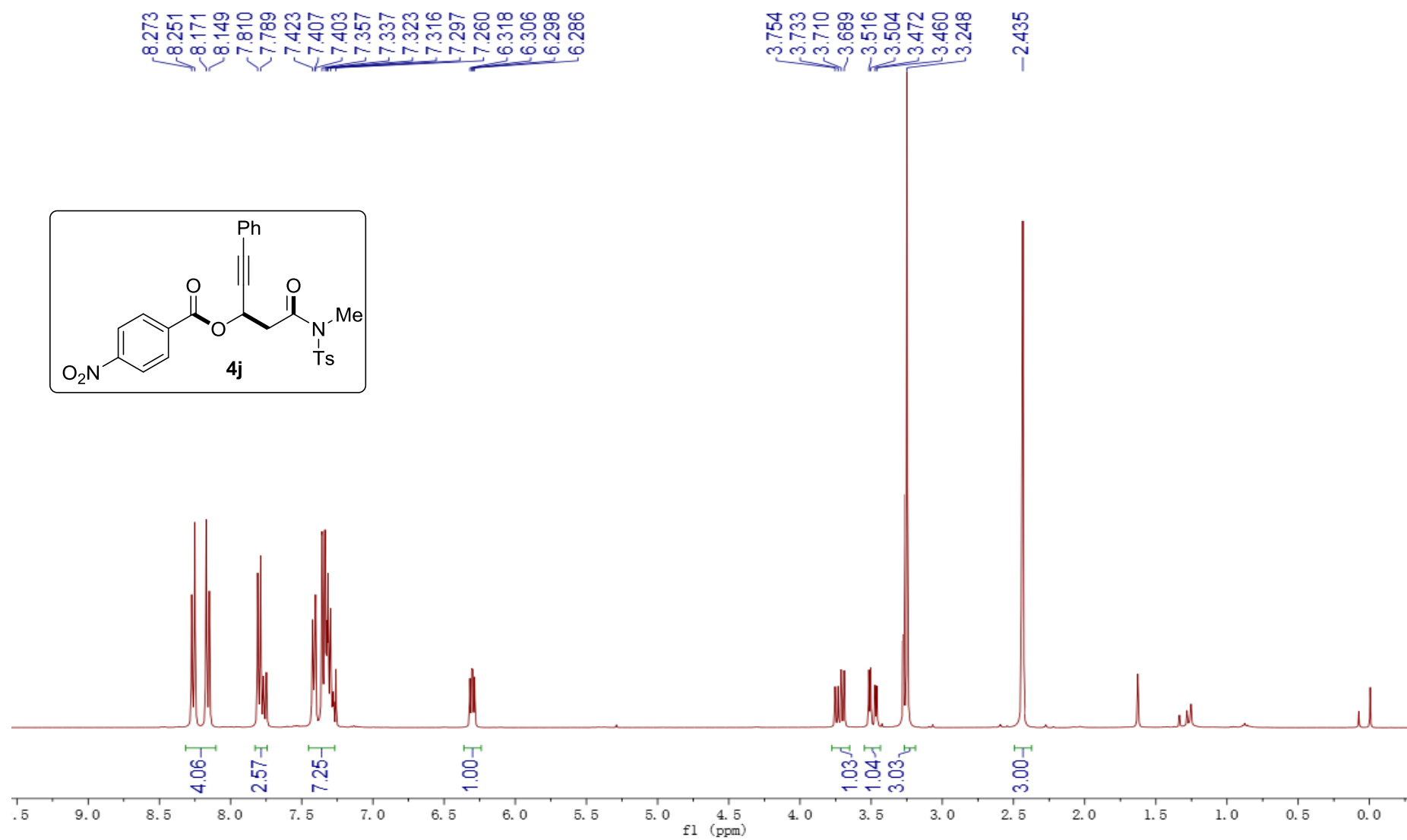


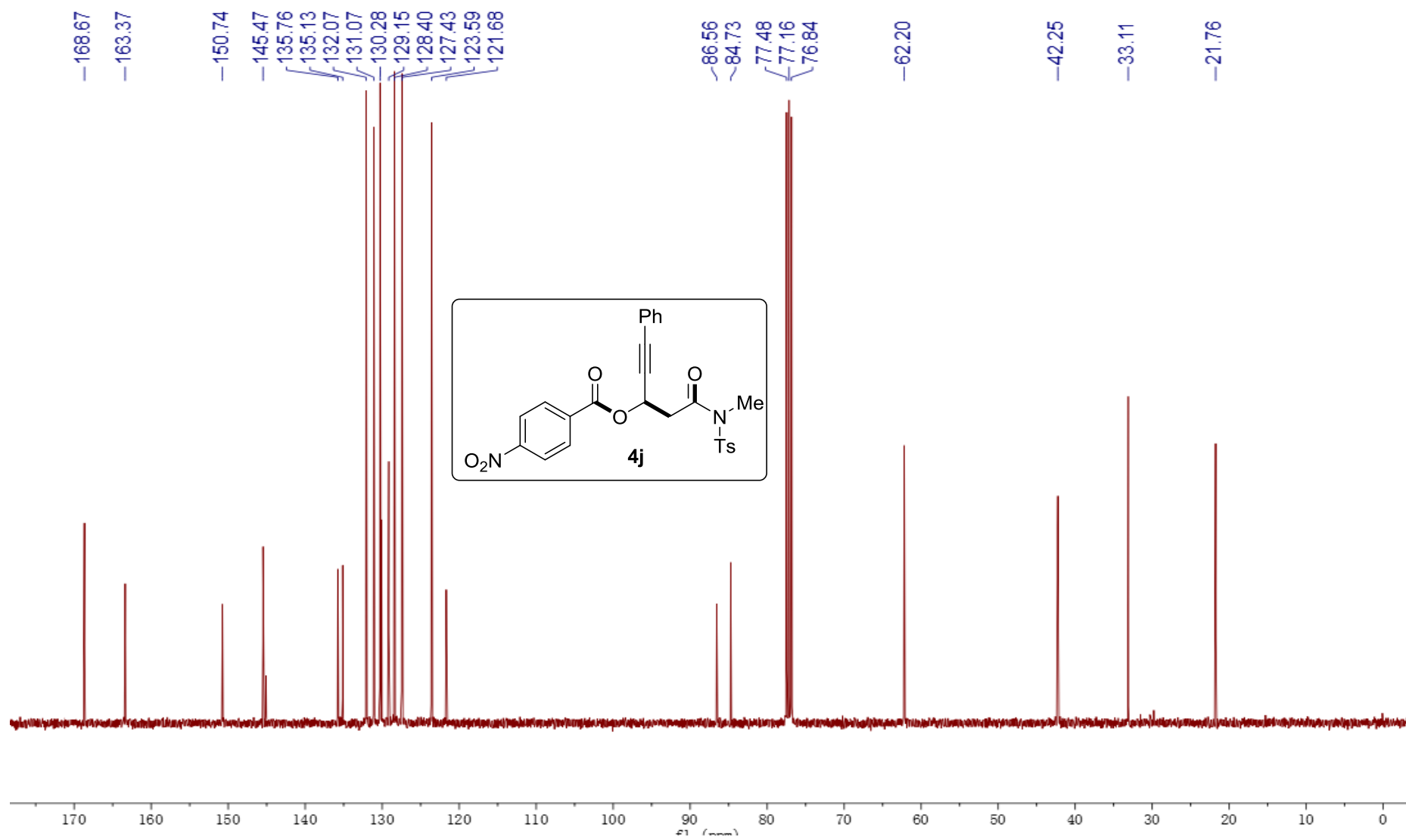


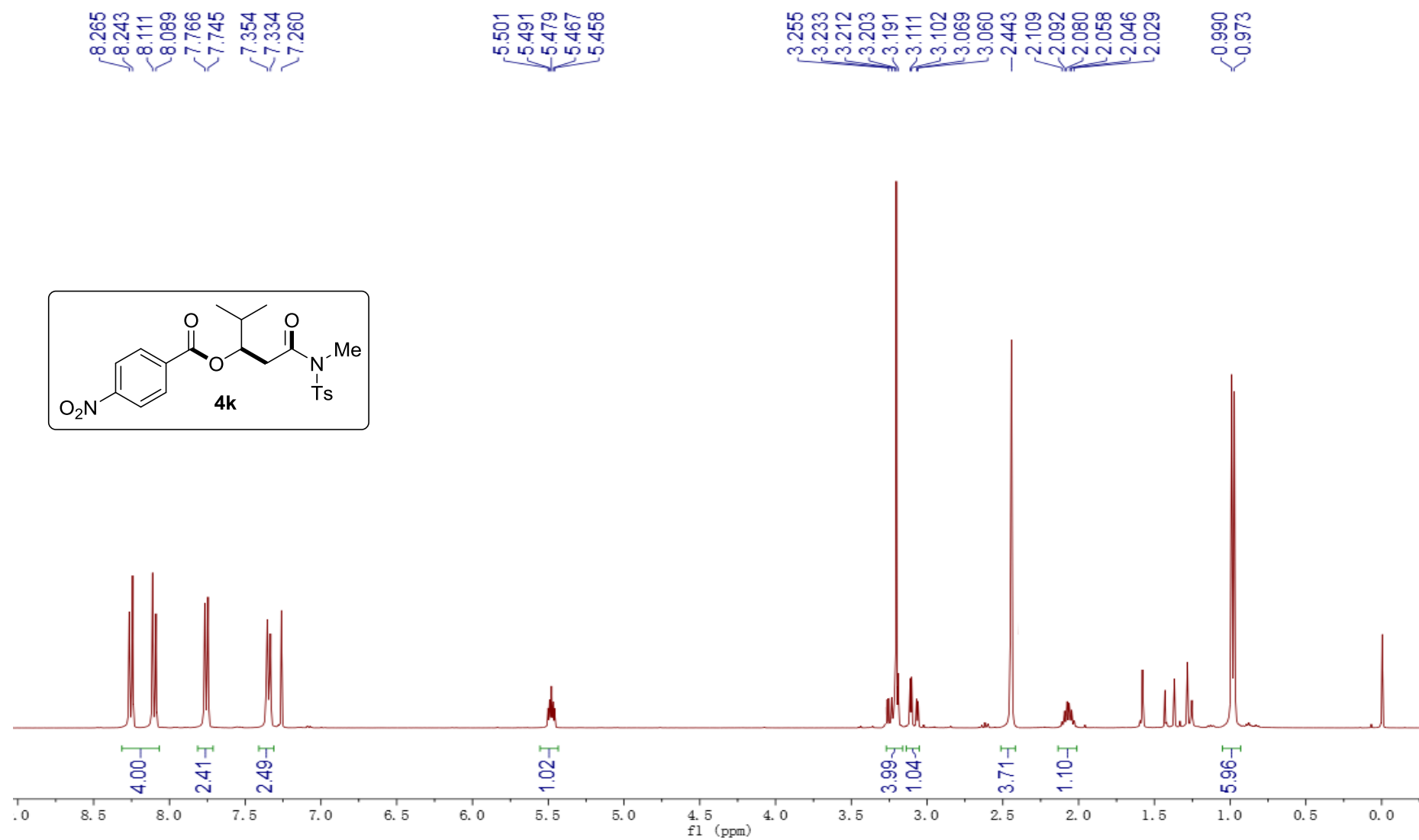


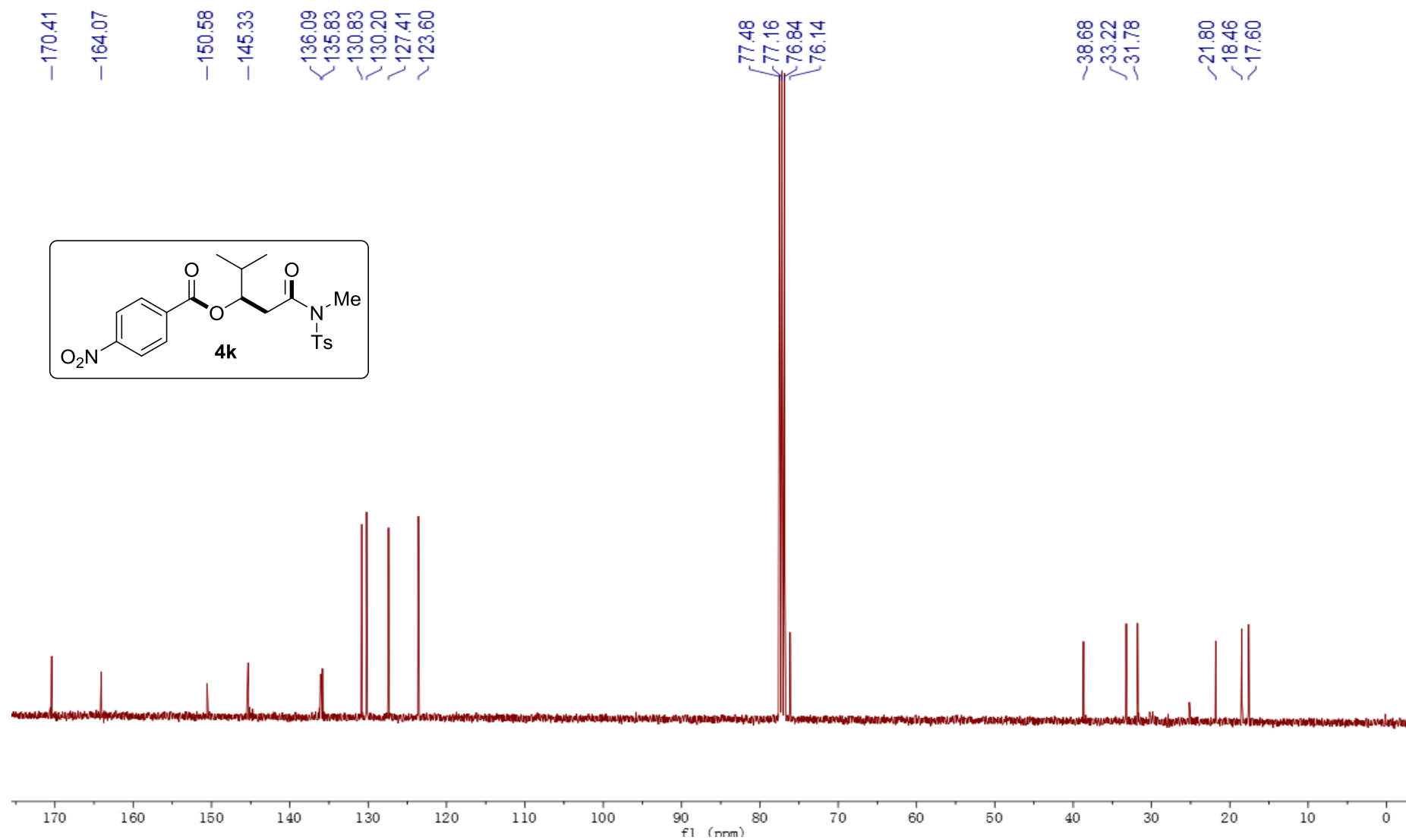




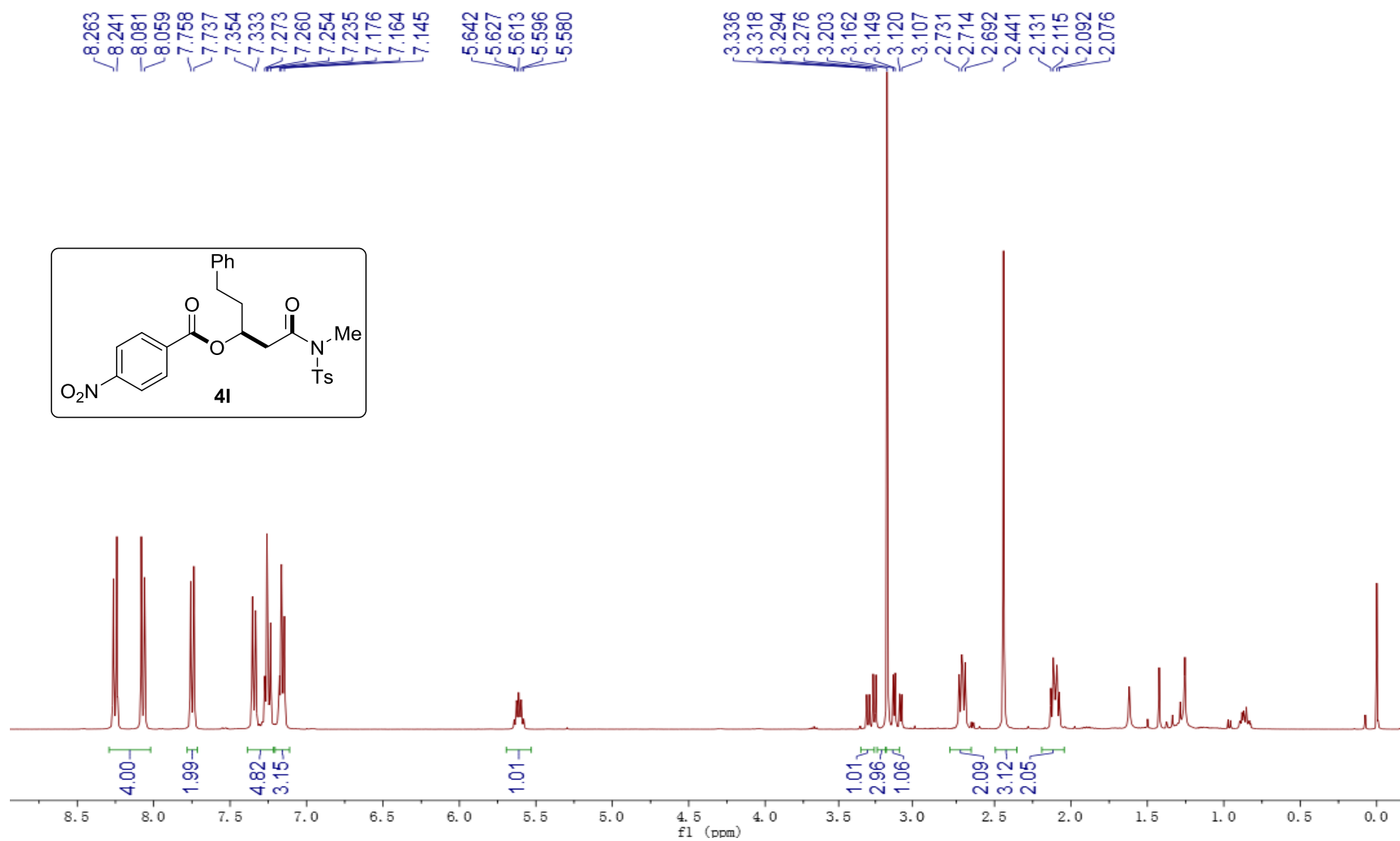


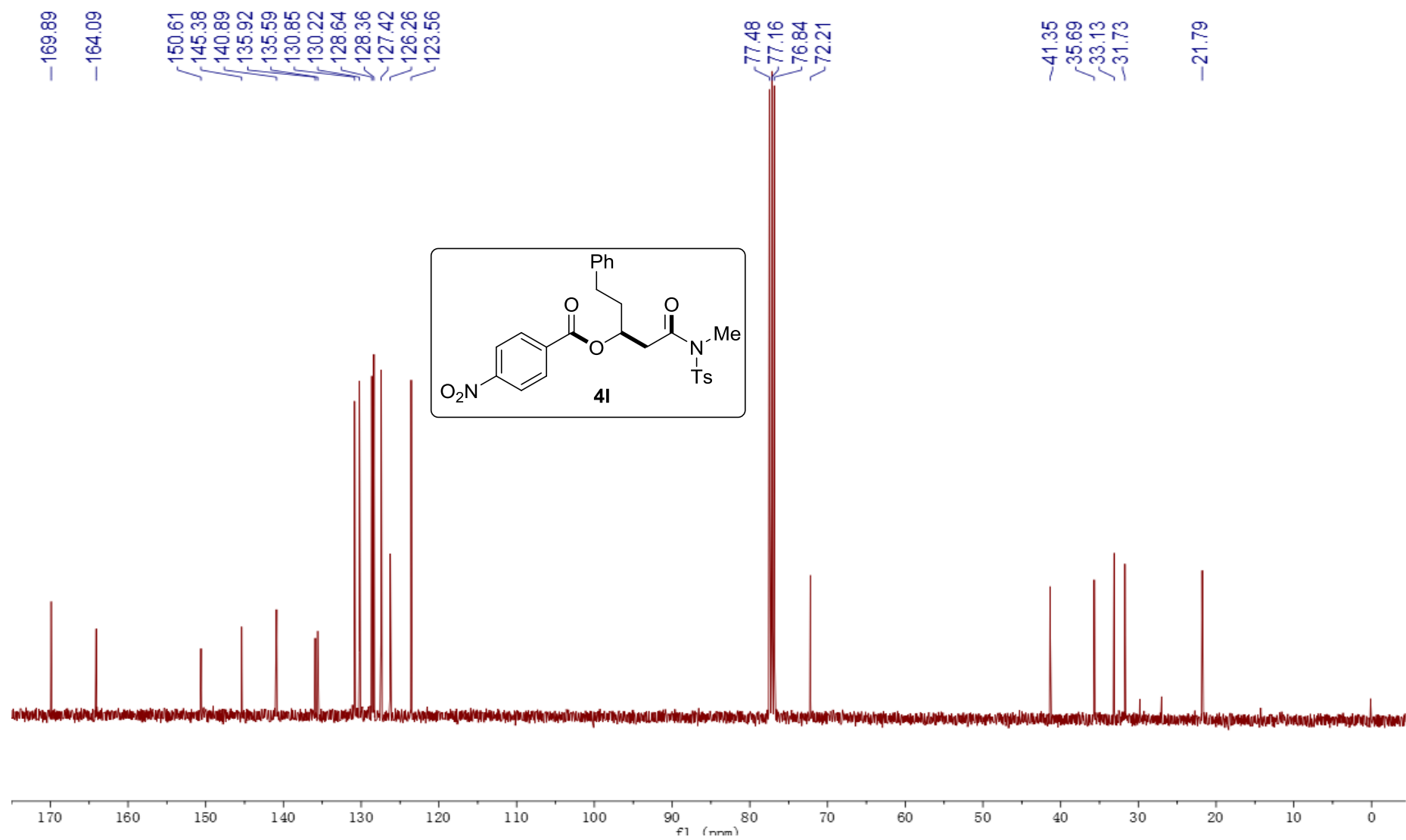


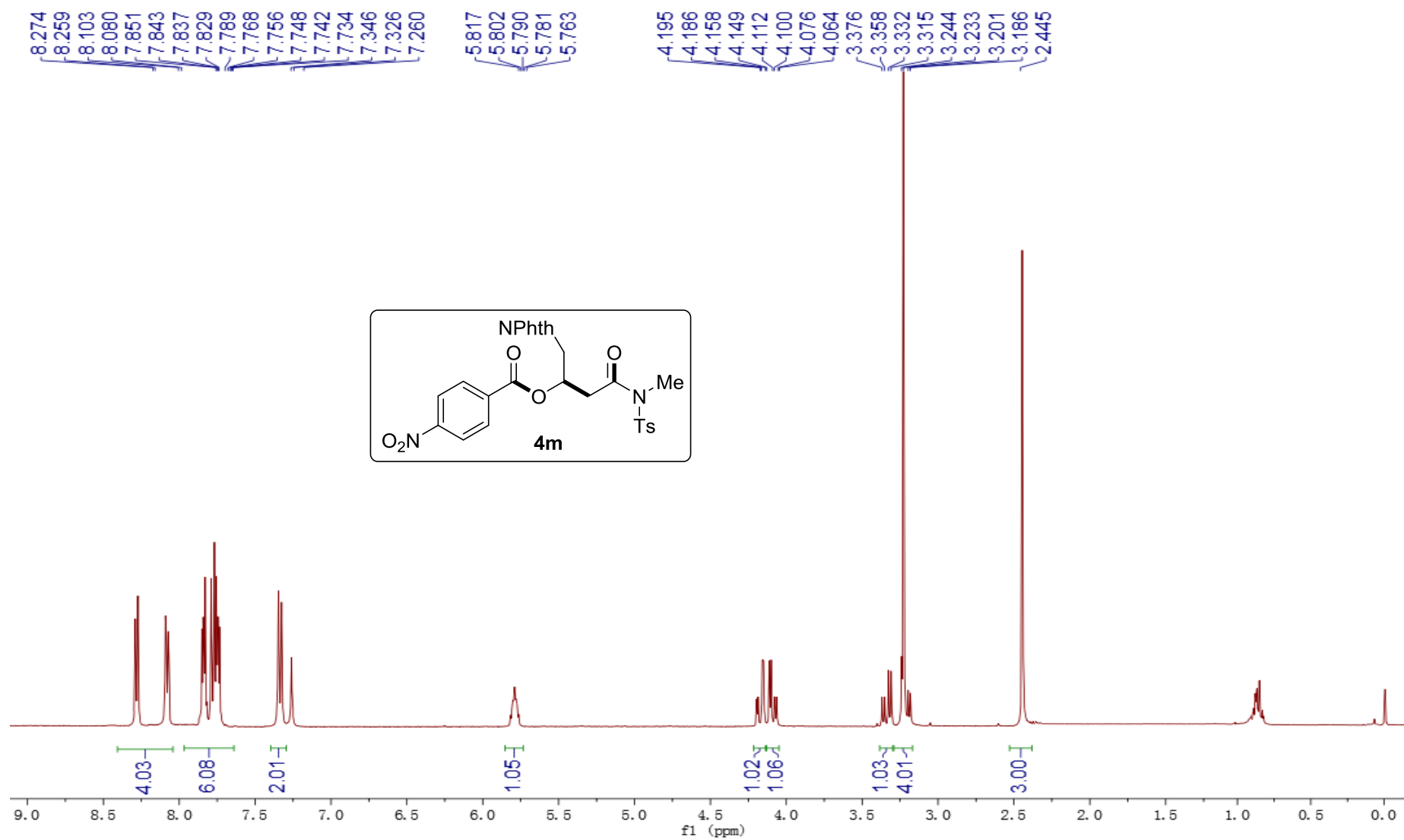


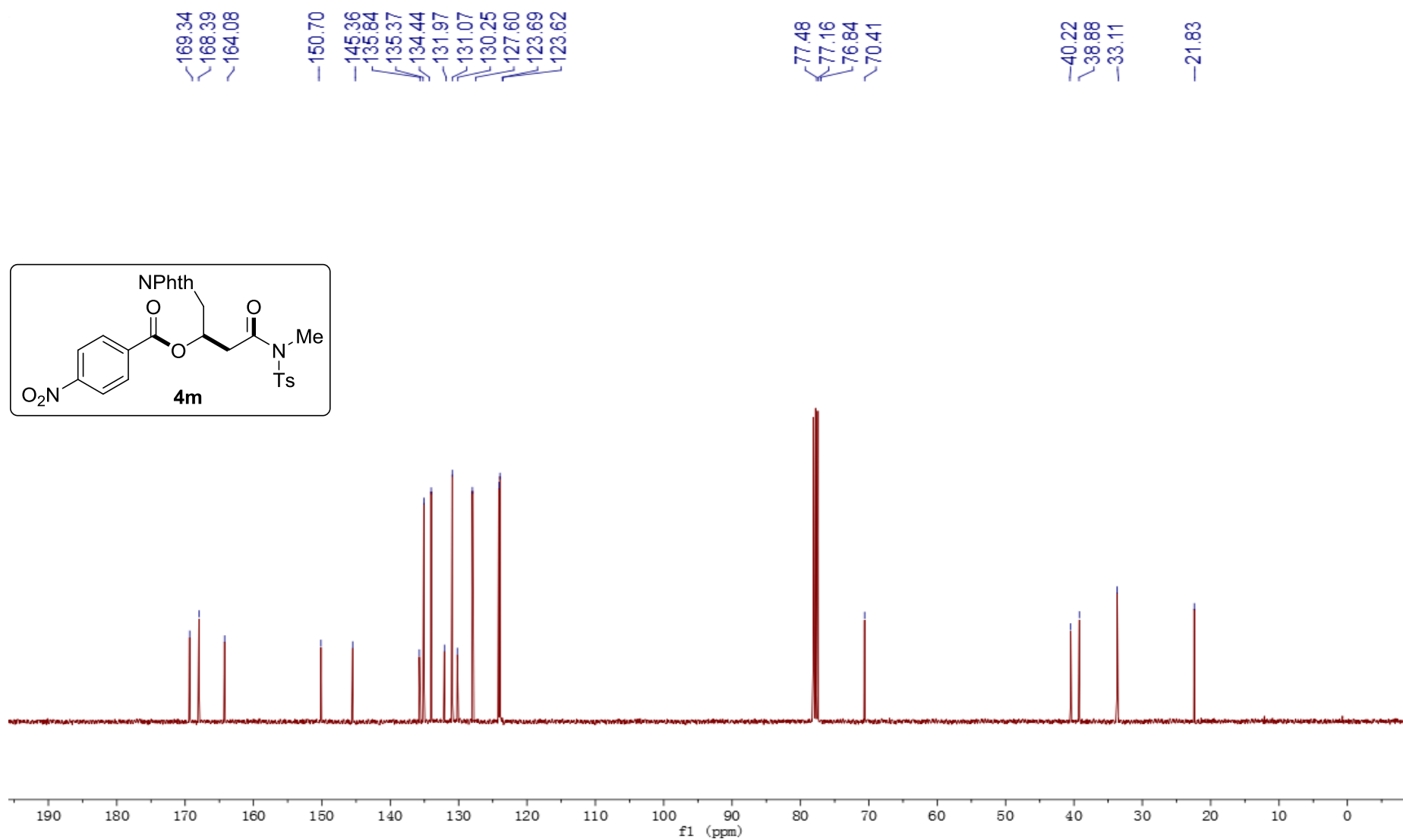


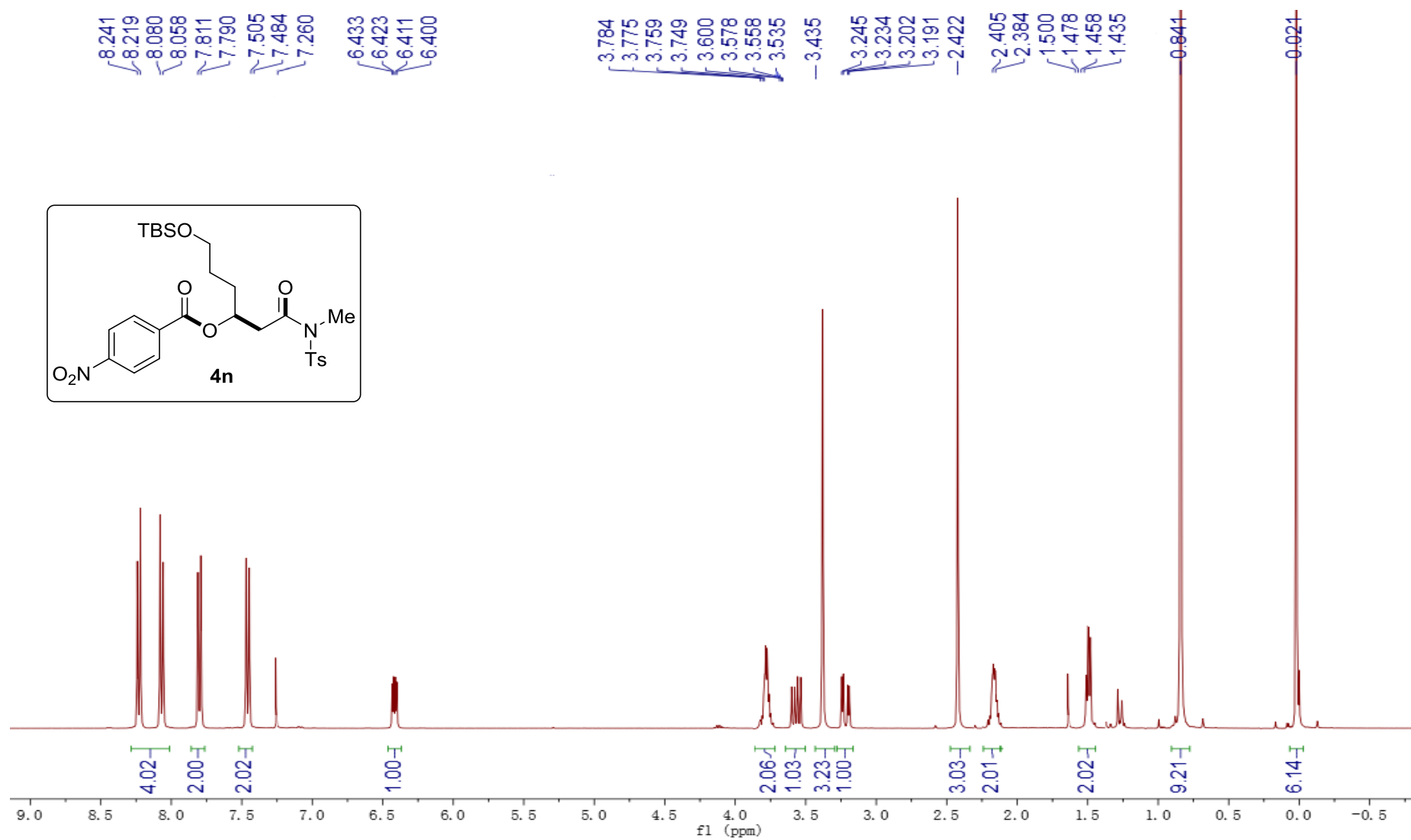
S55

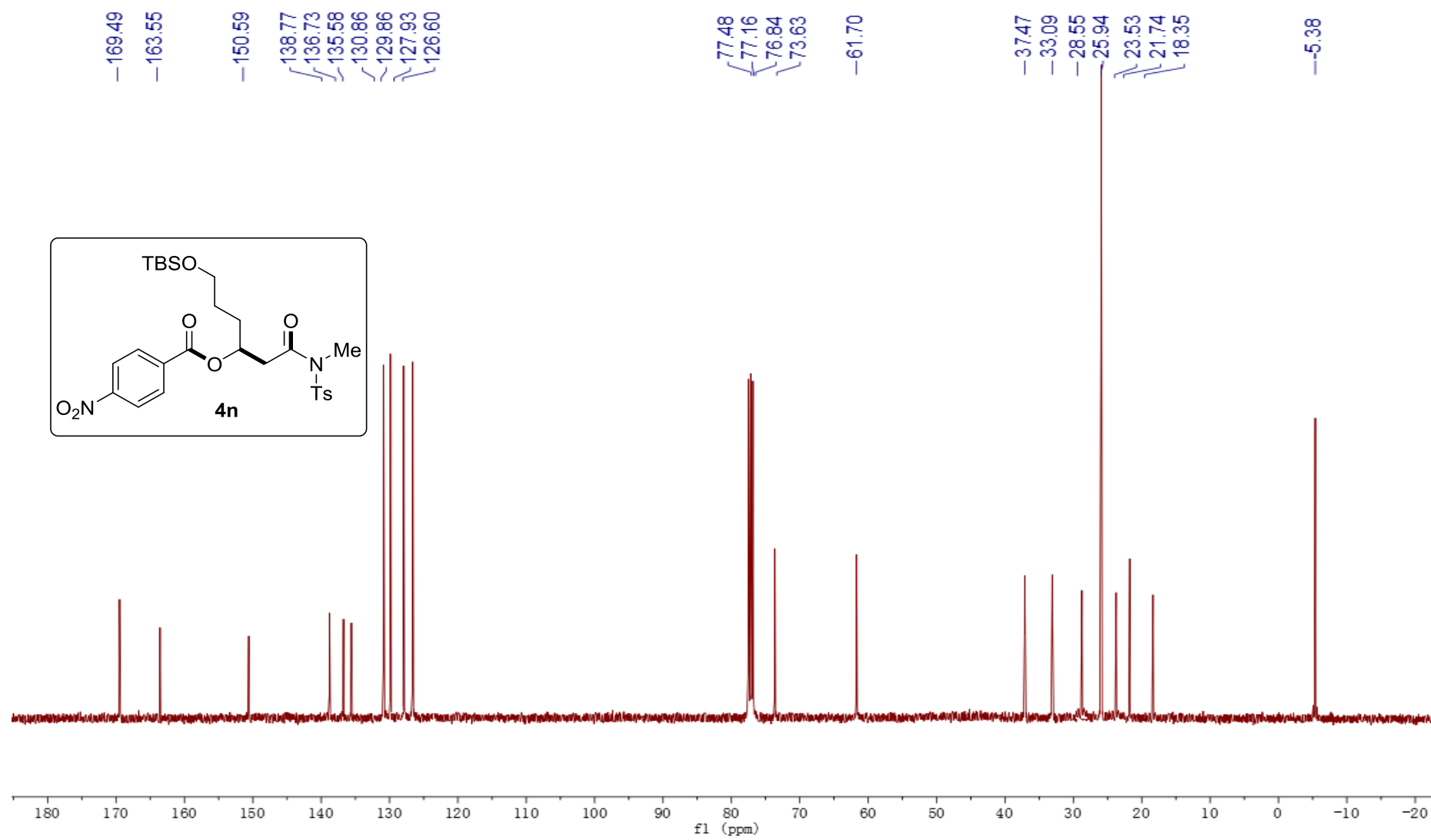


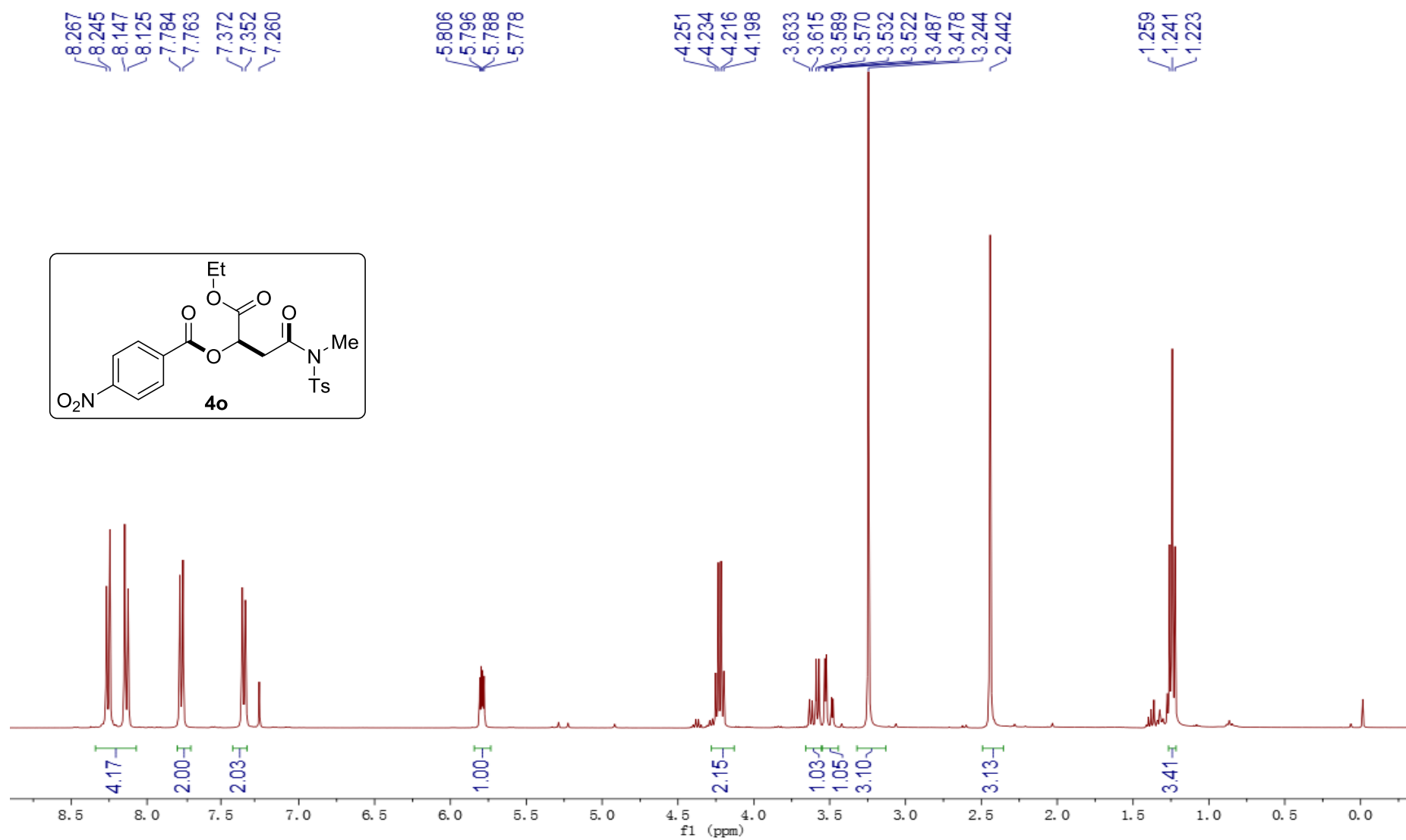


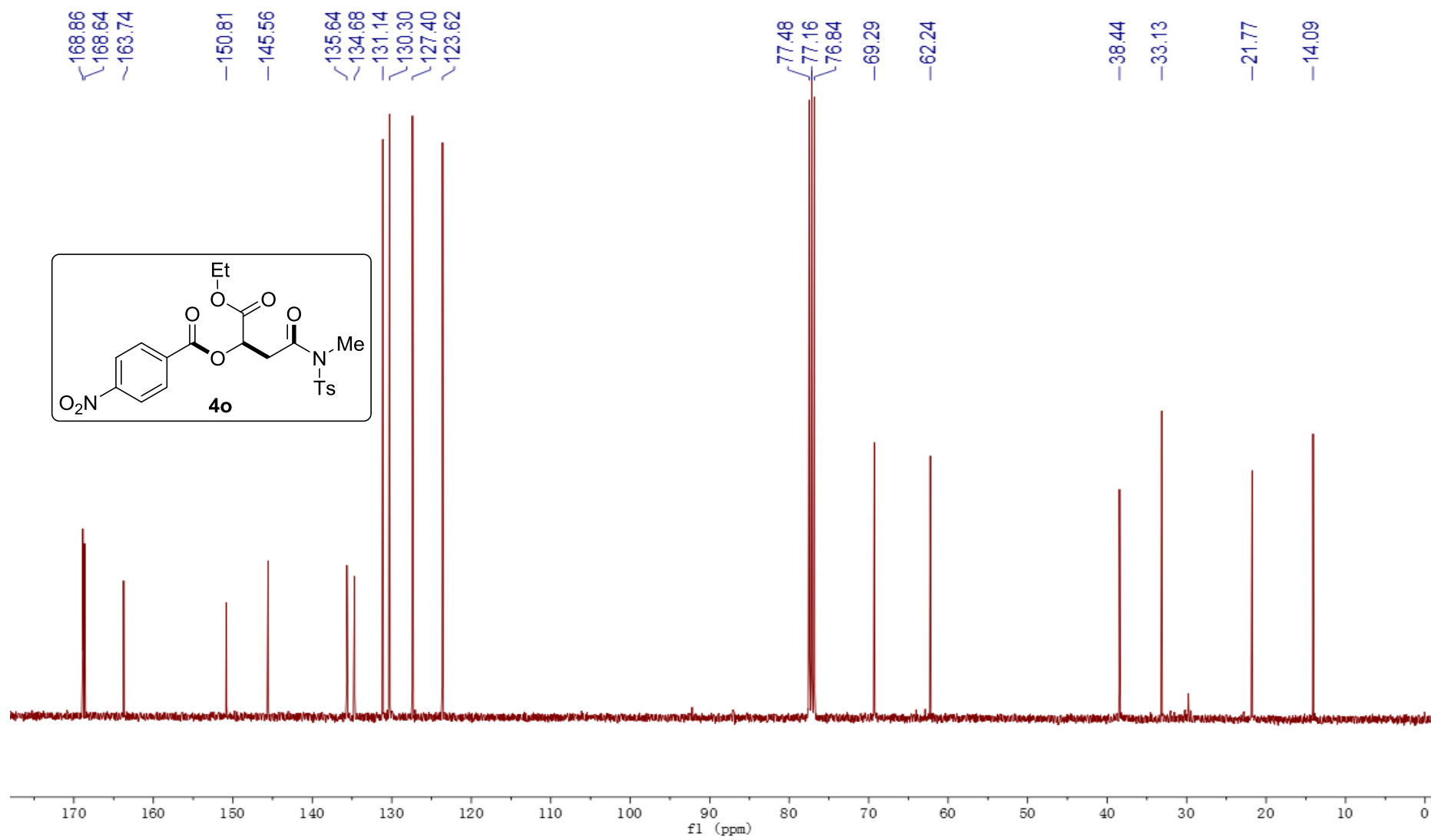


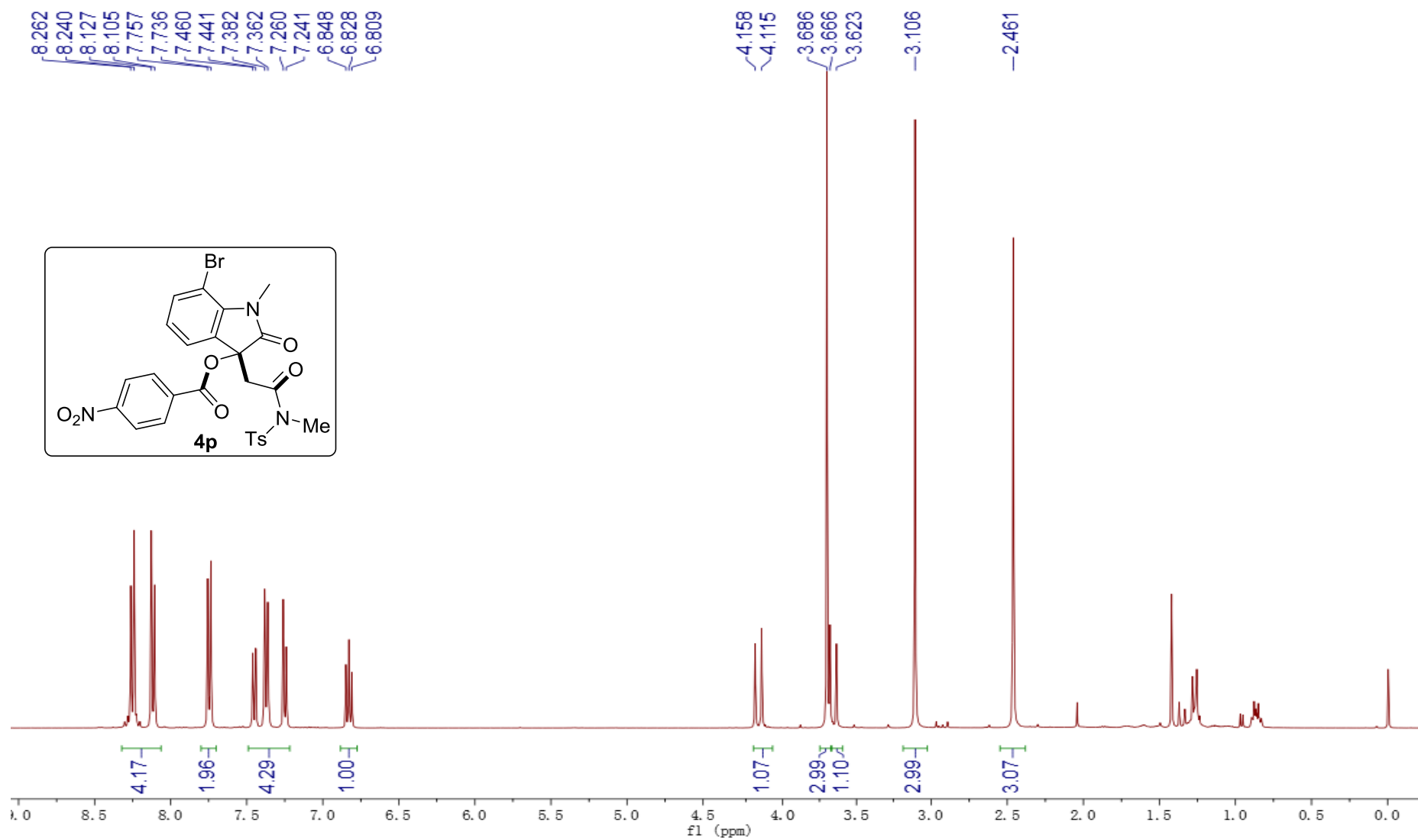


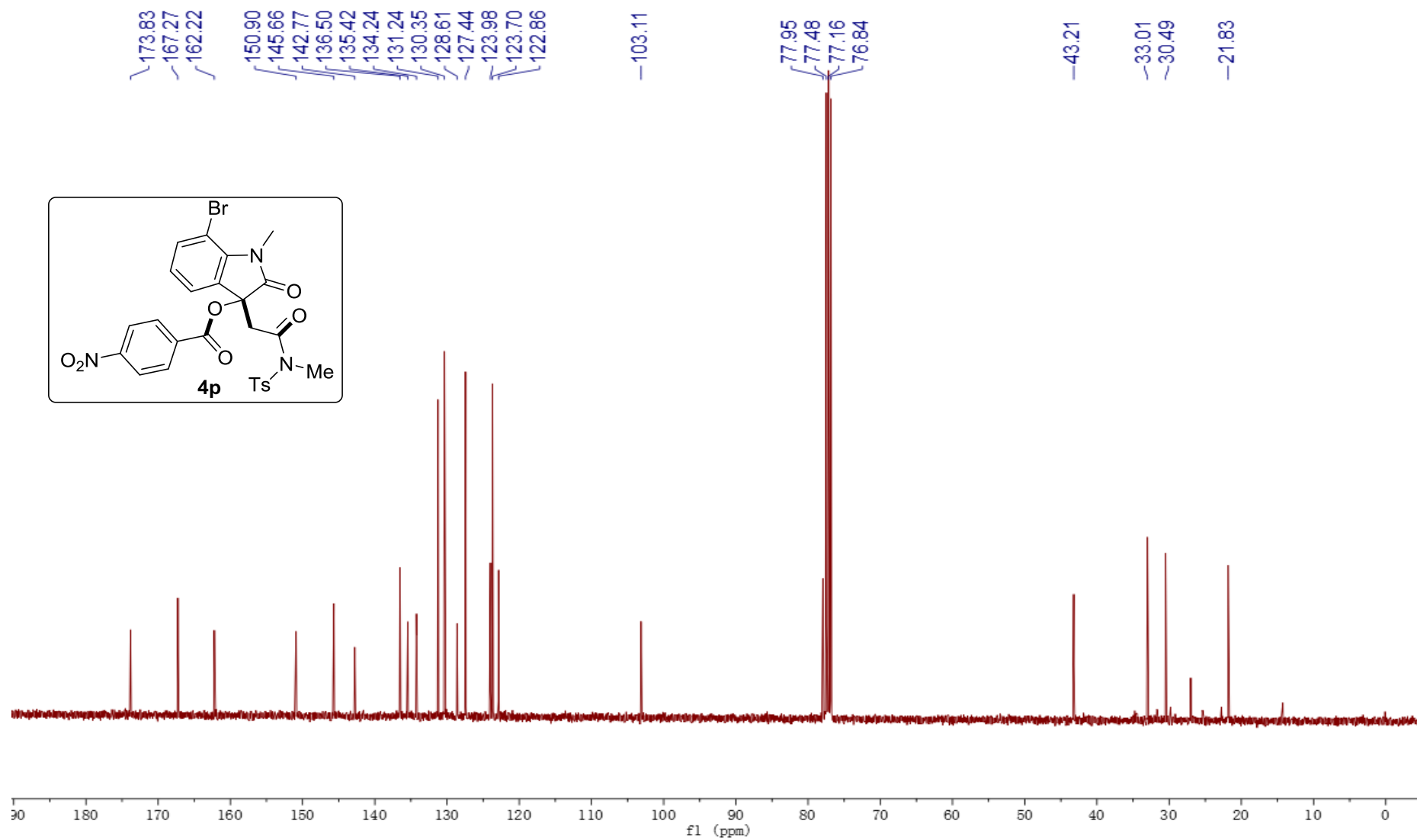




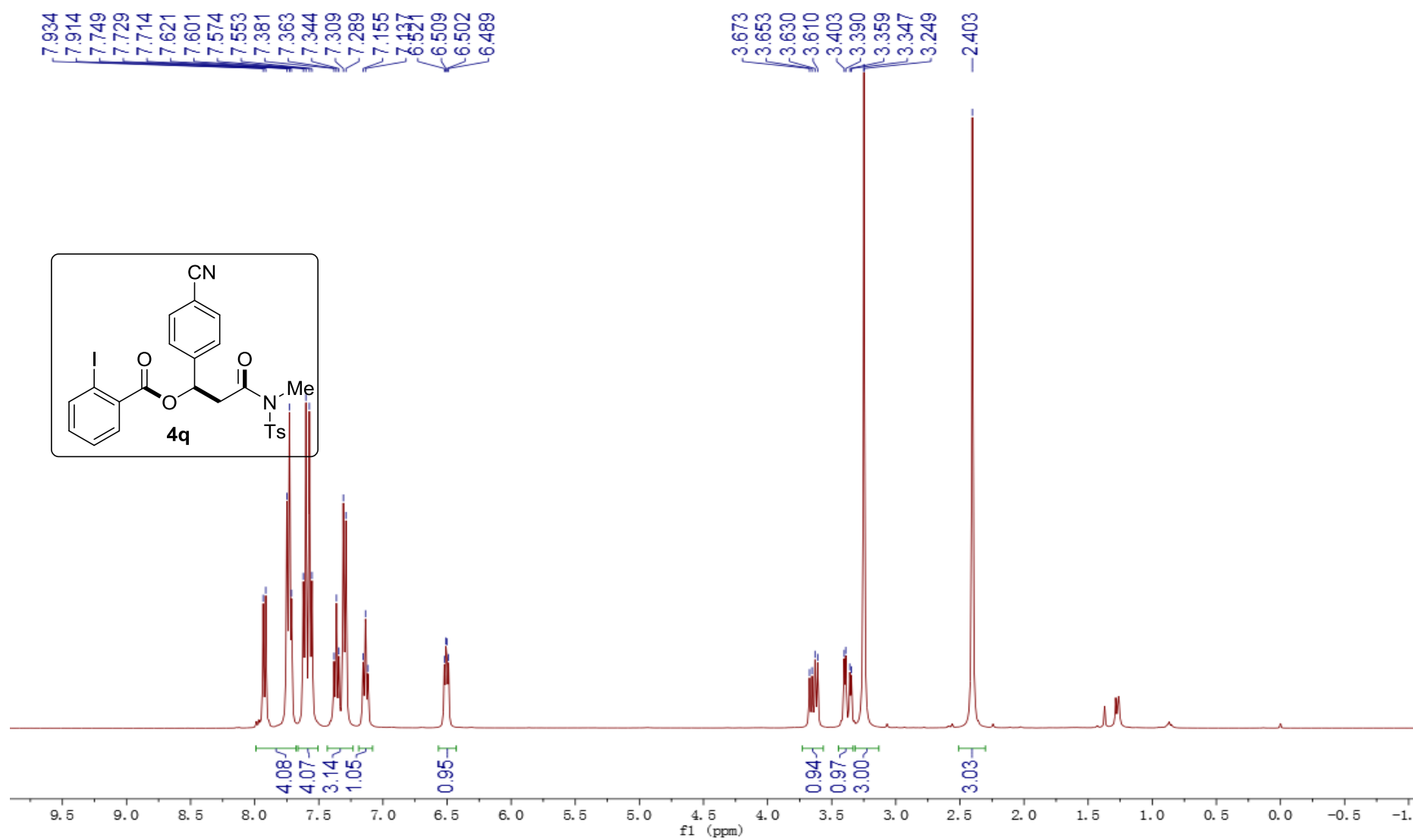


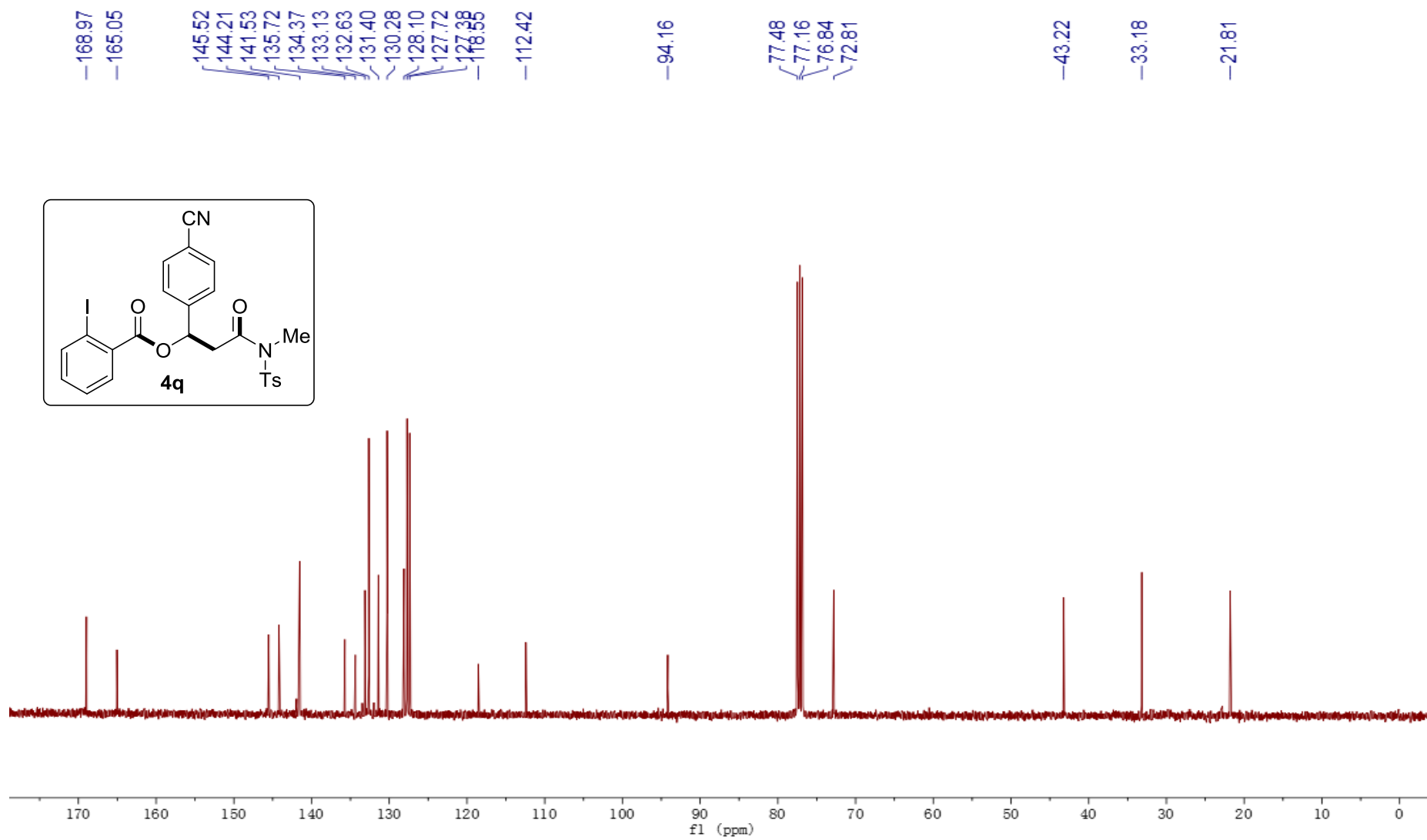


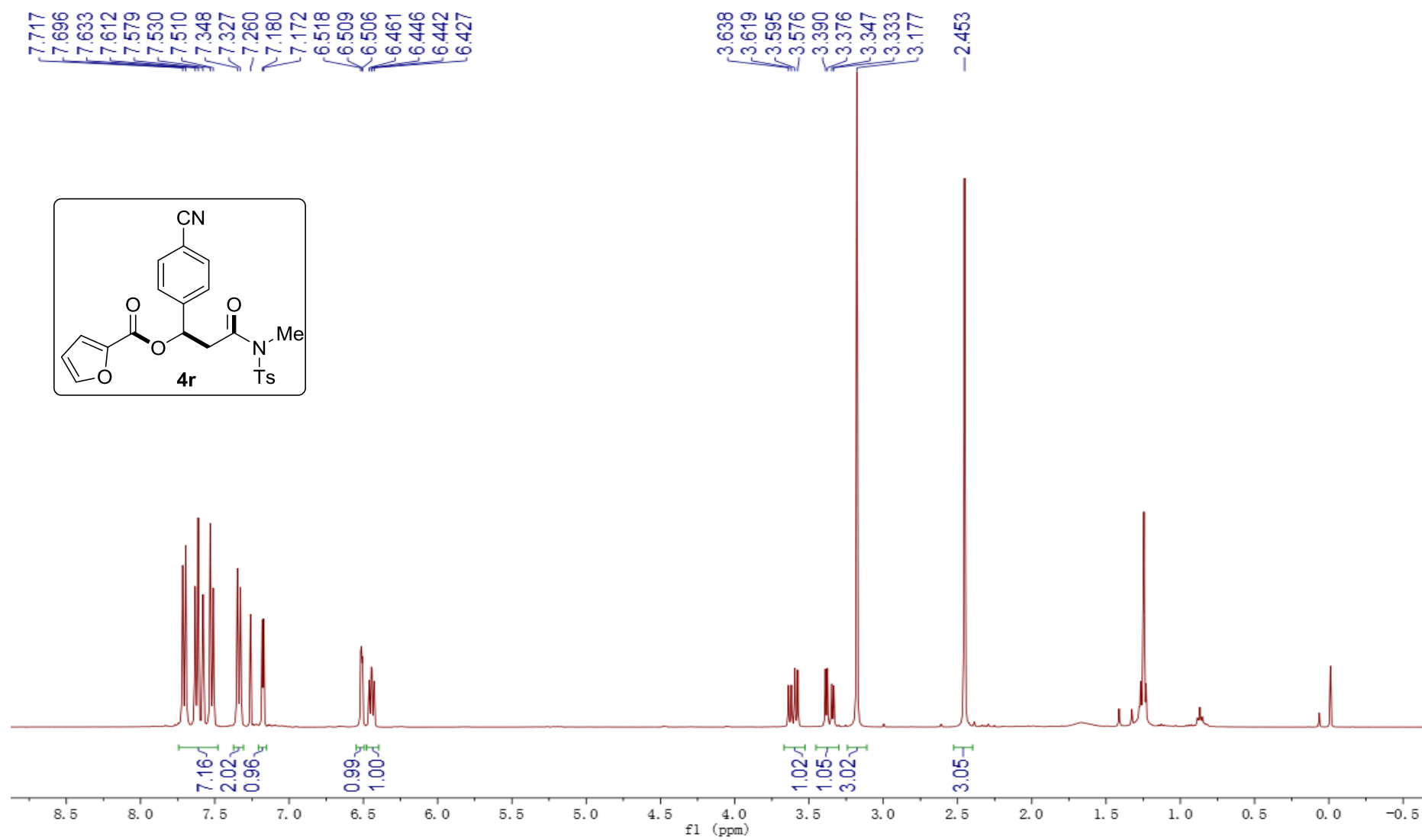




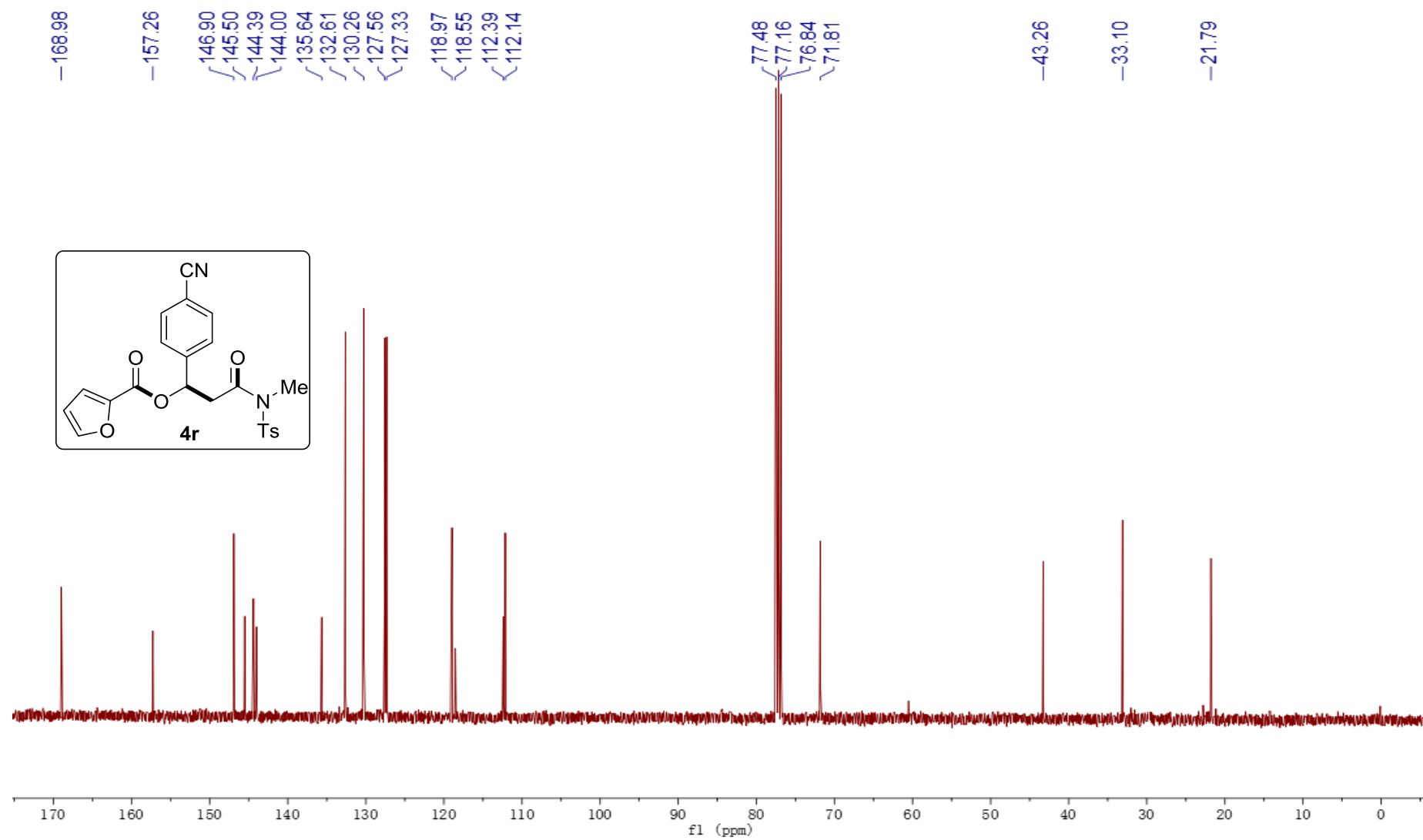
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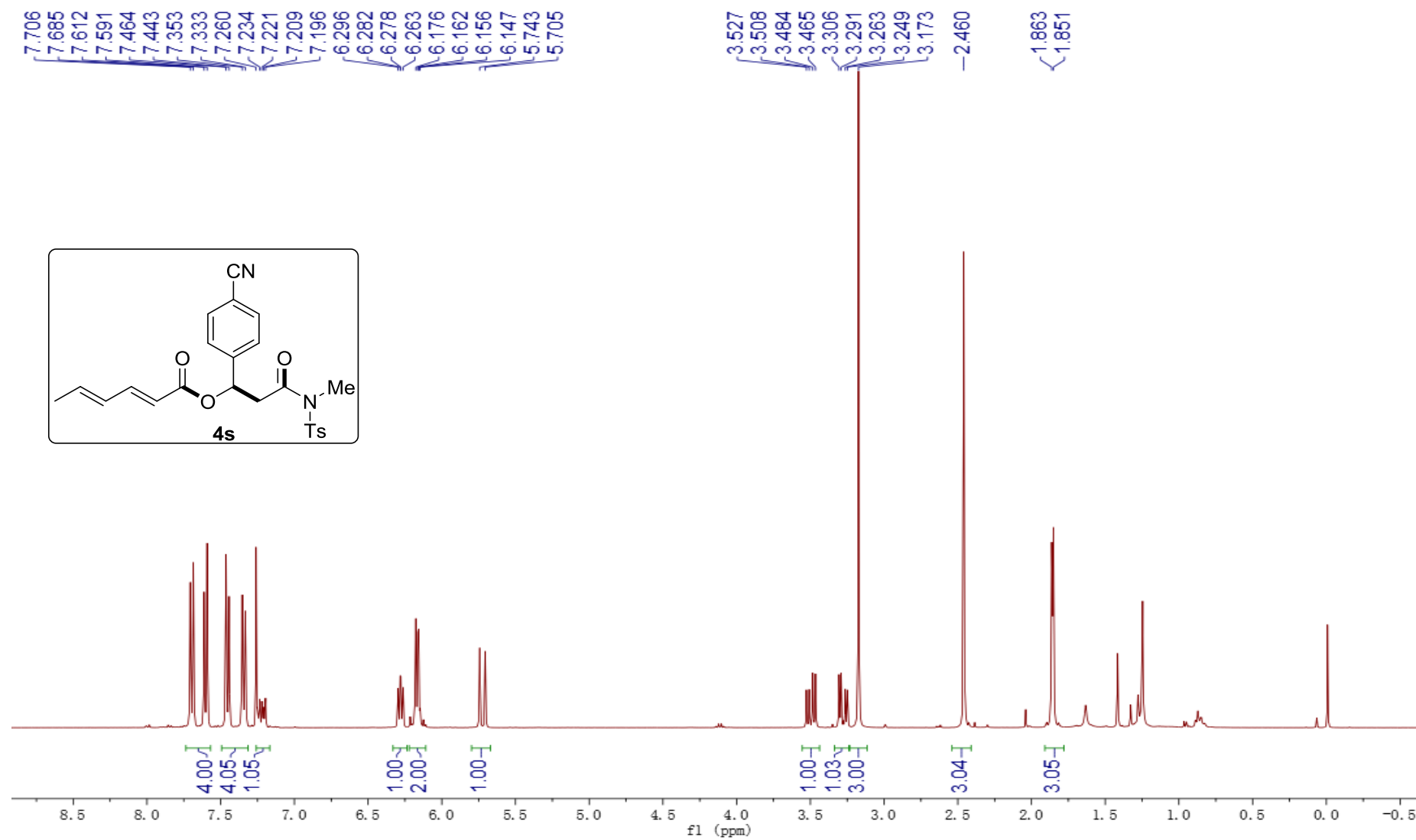


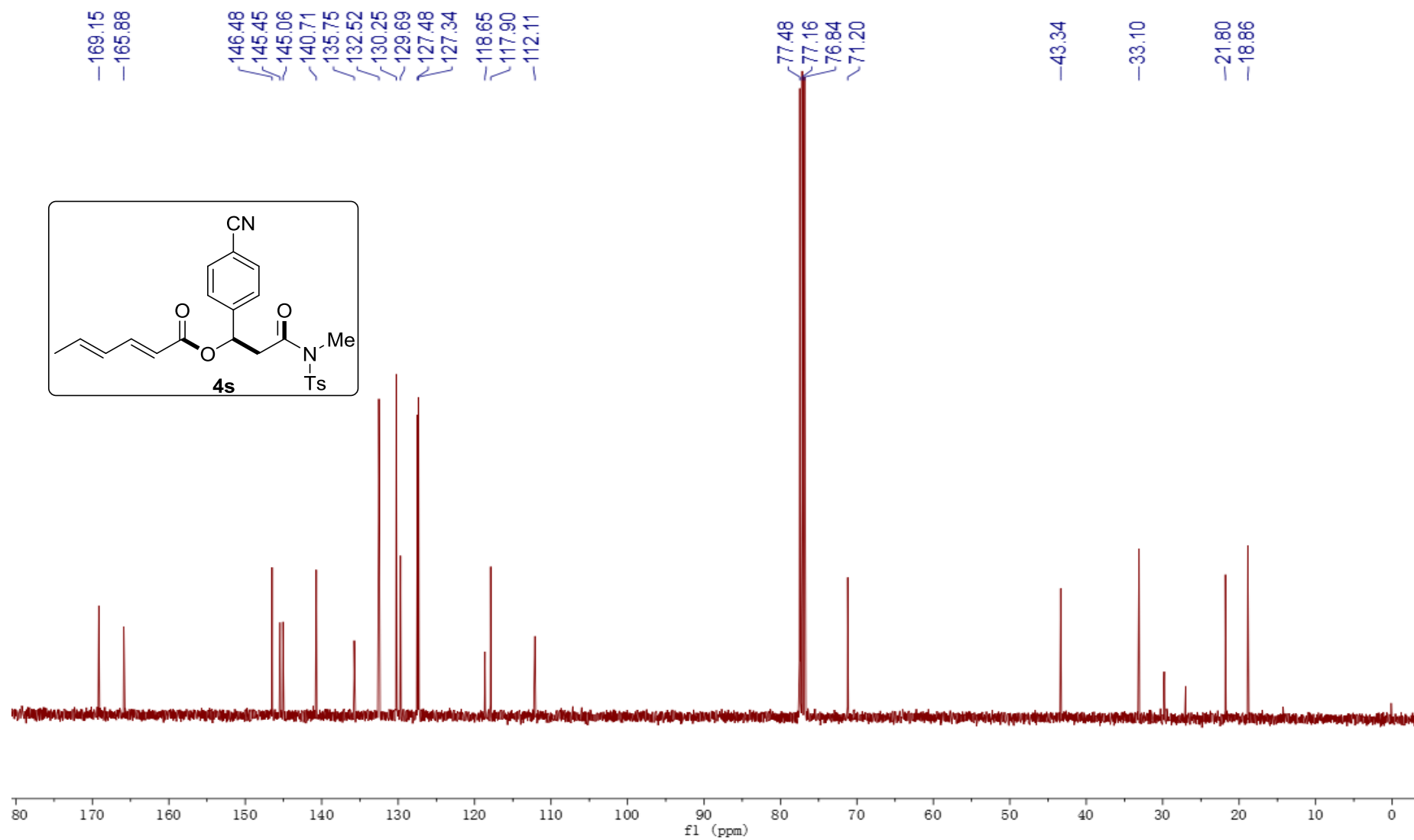


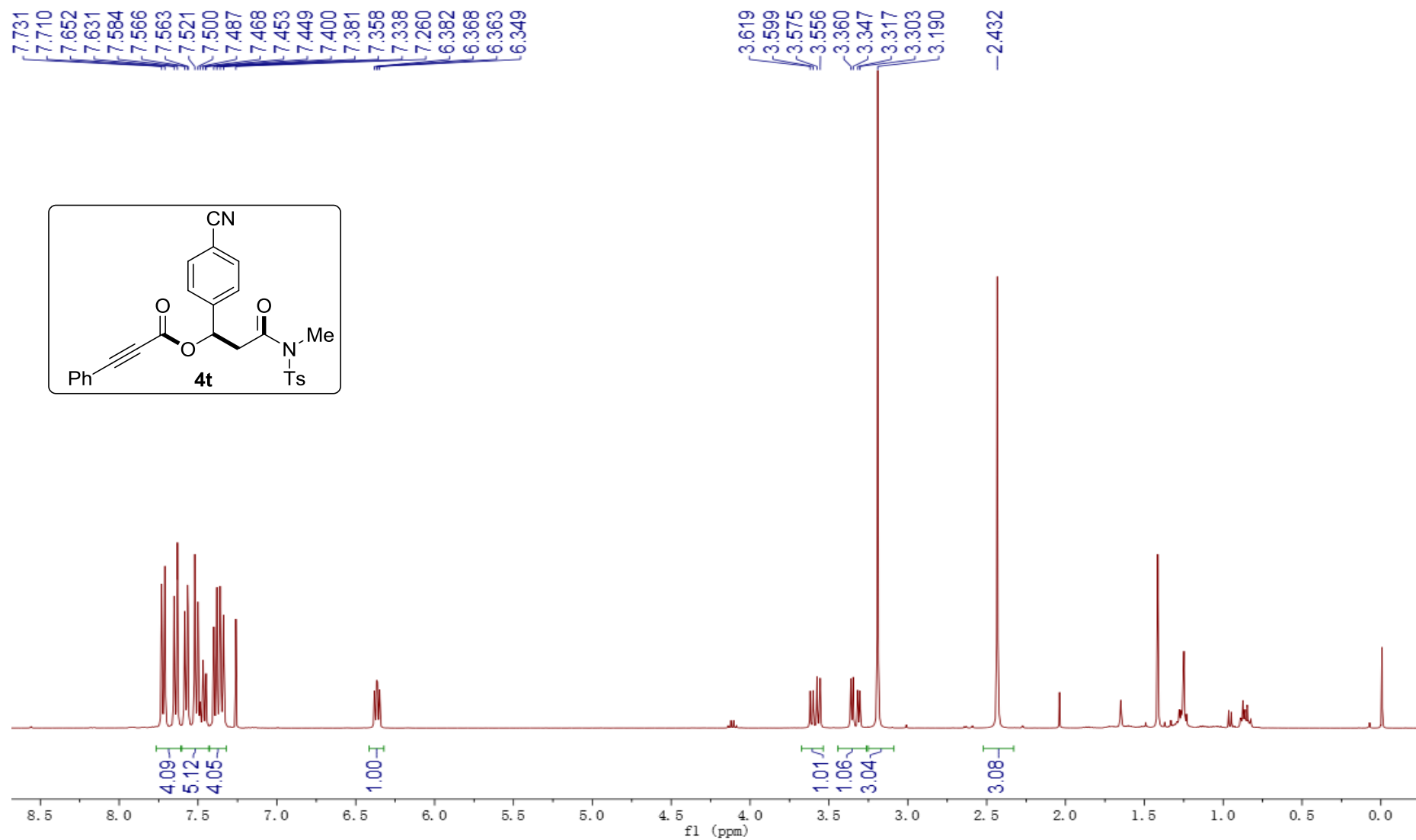


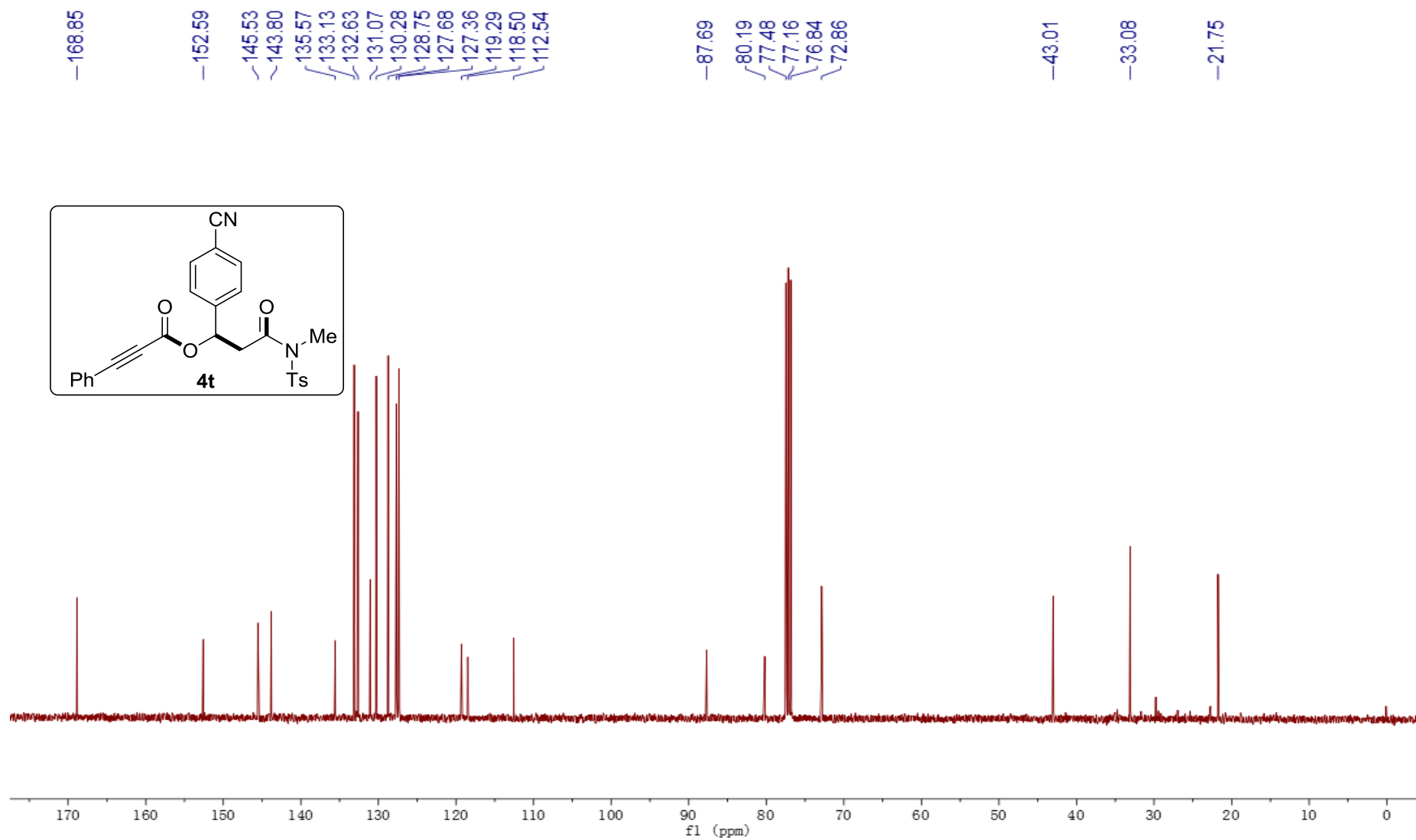
S68

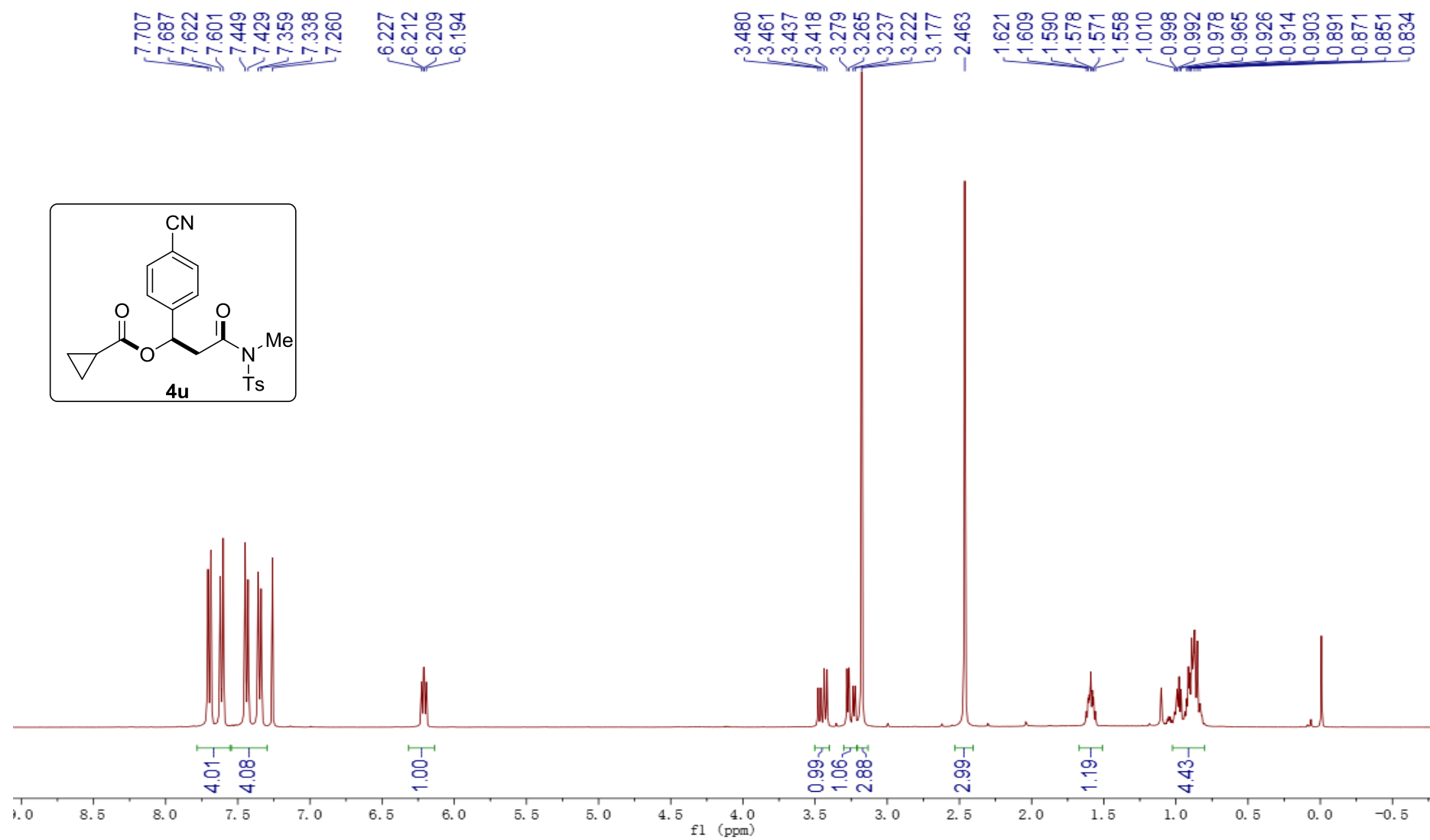


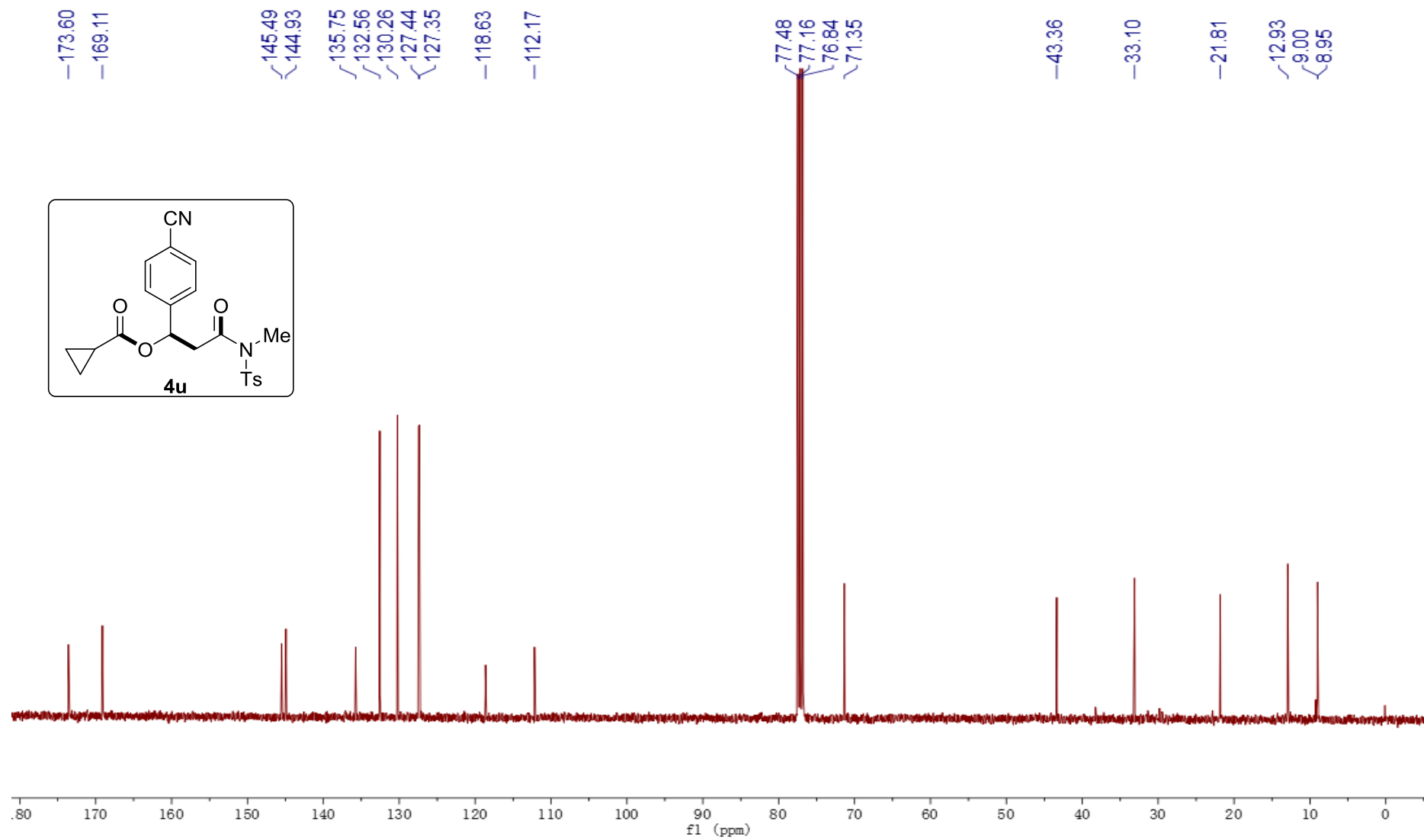


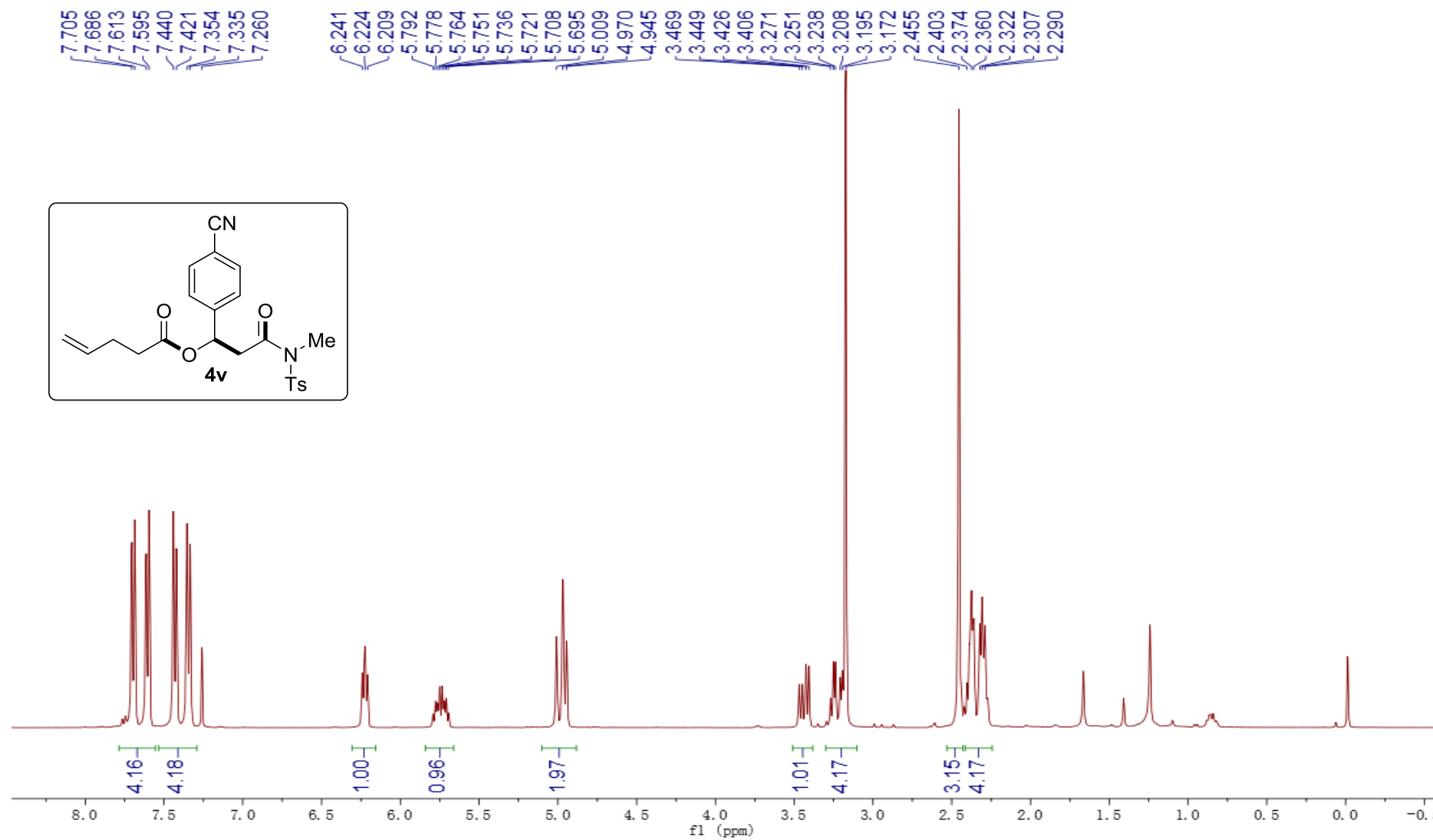


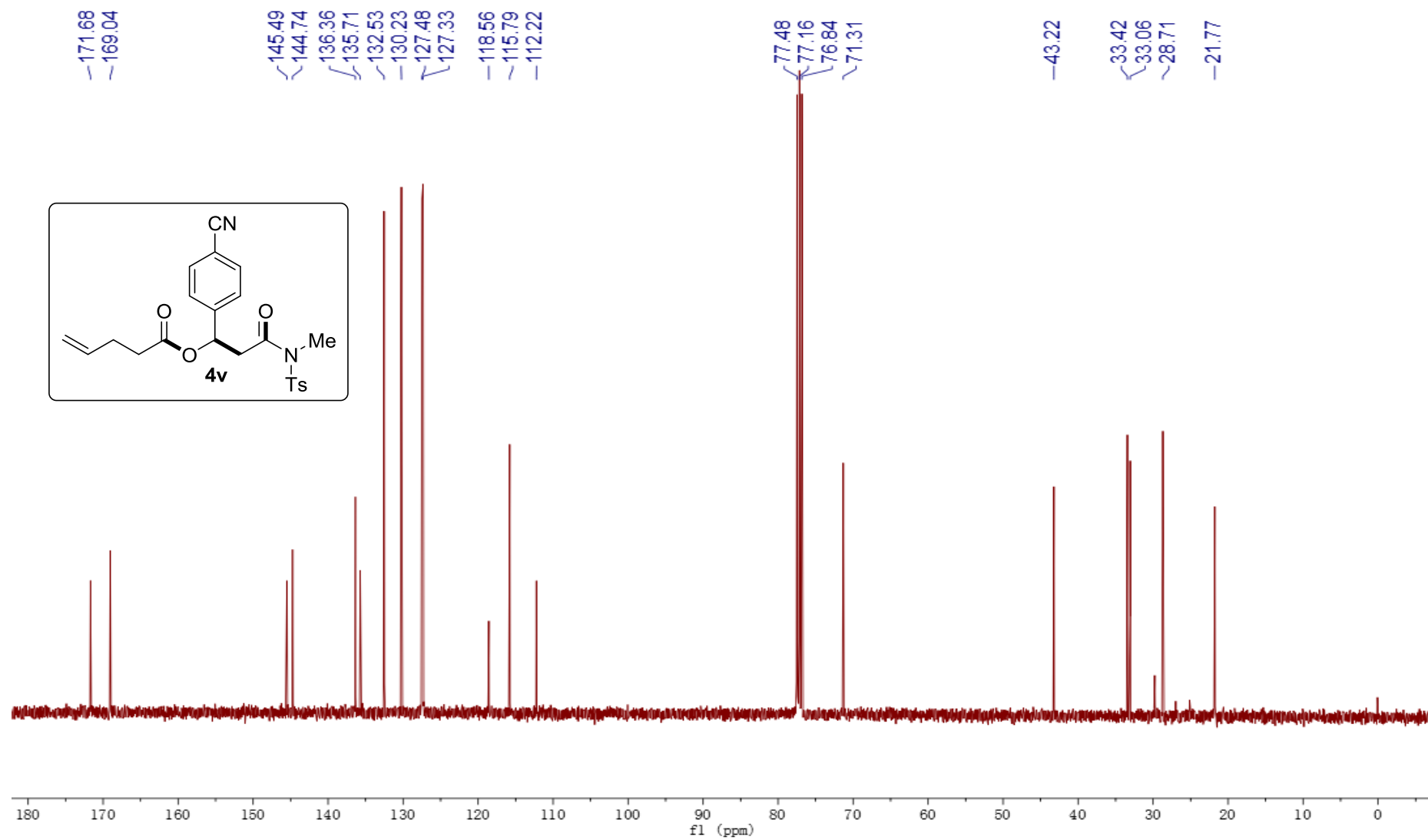


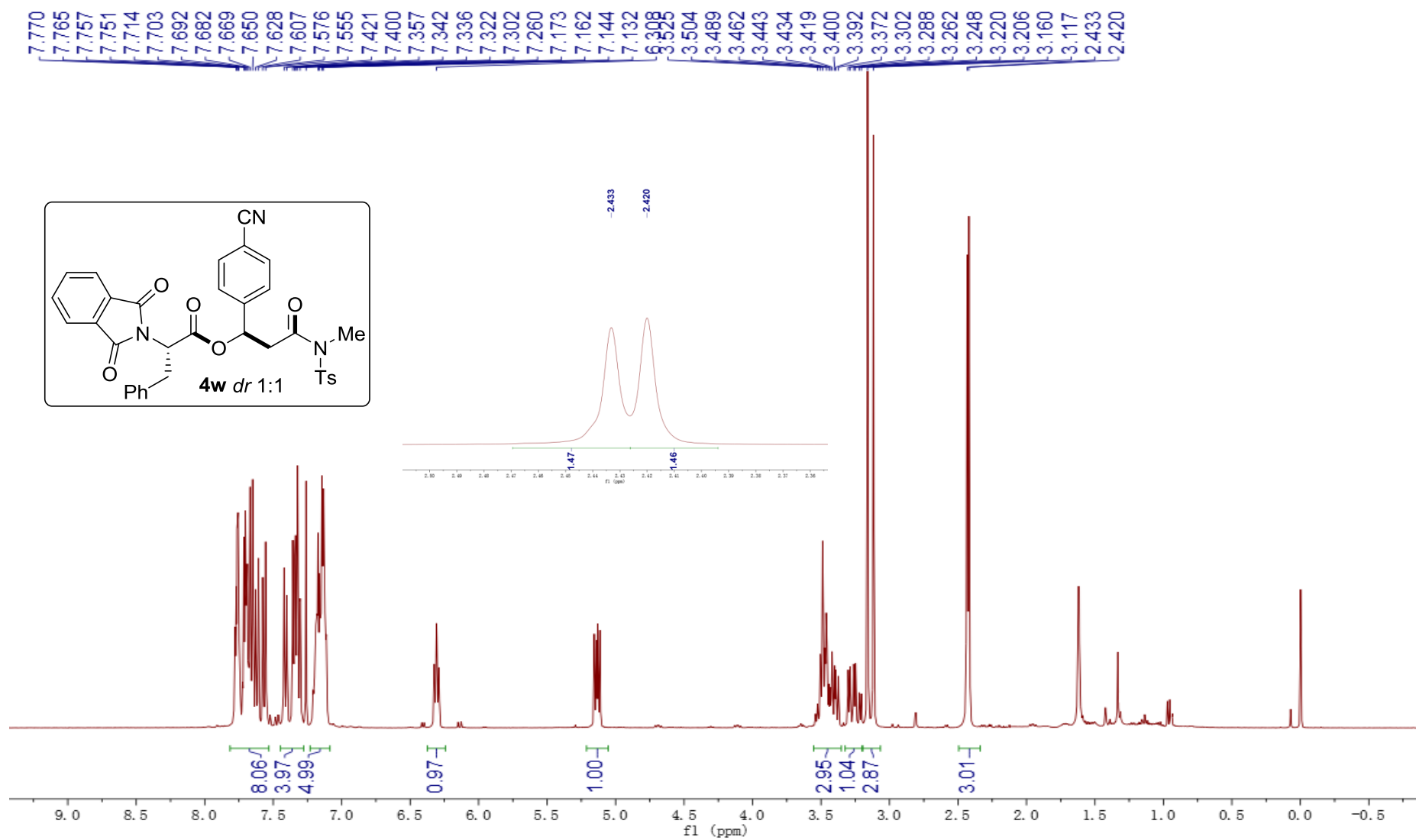


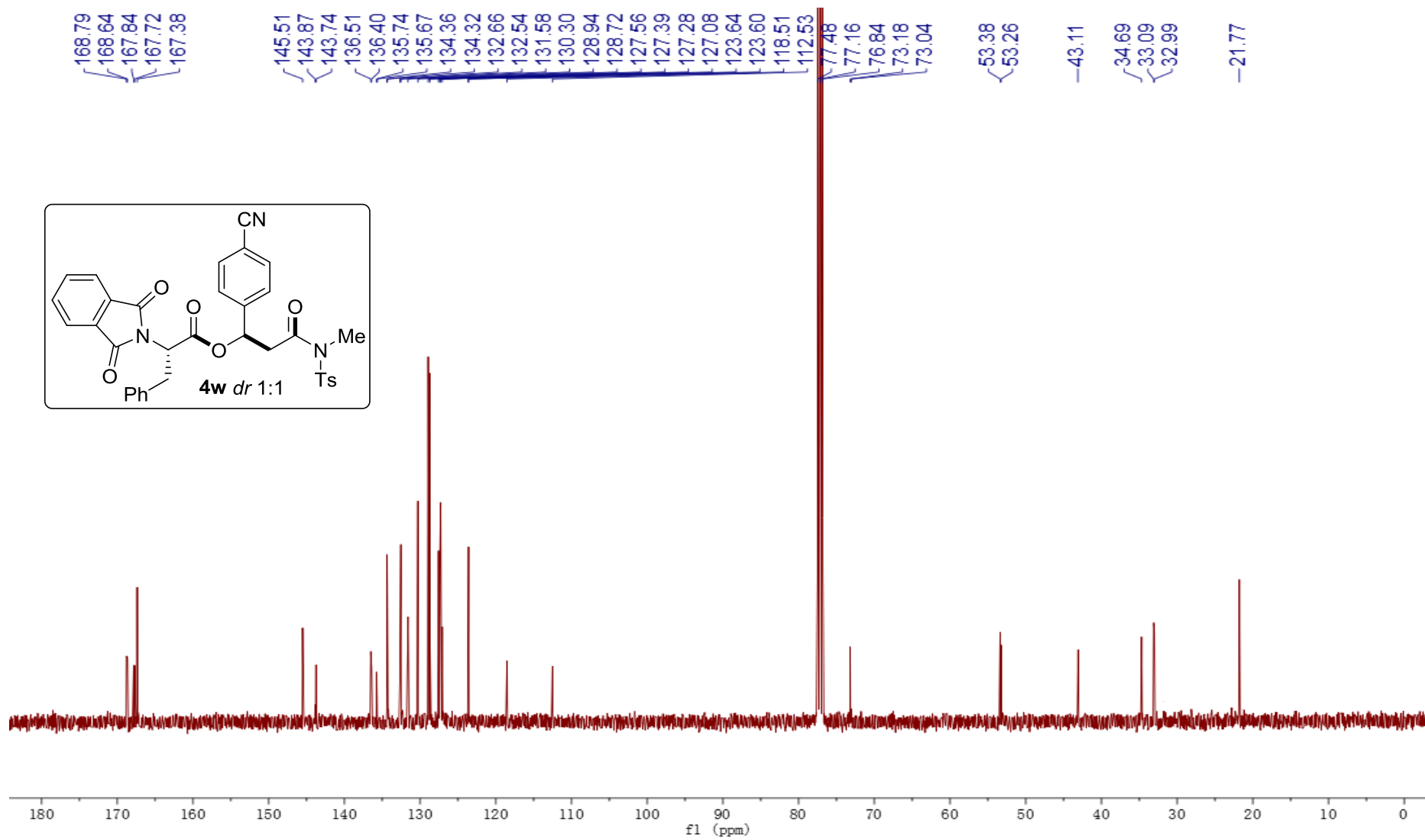


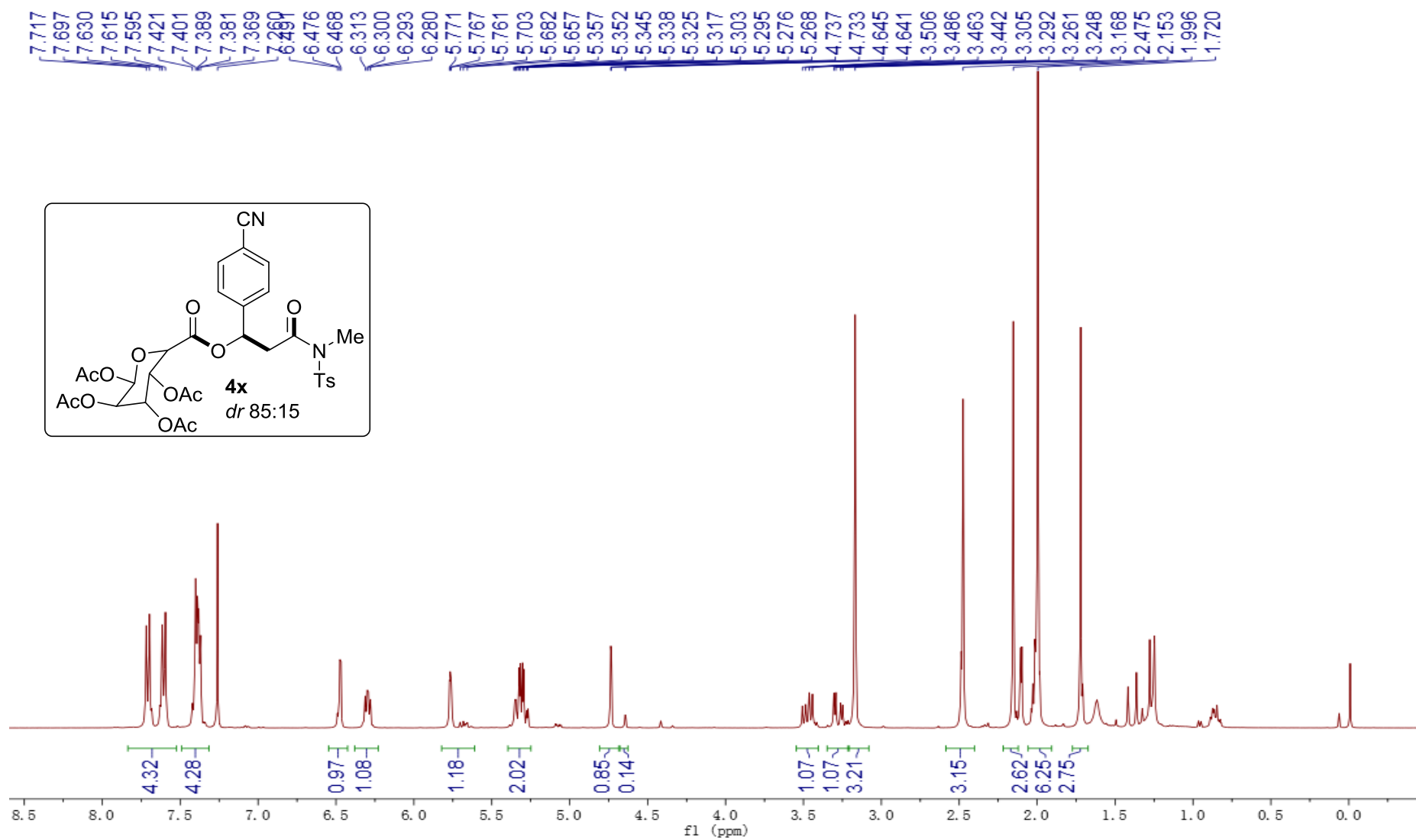


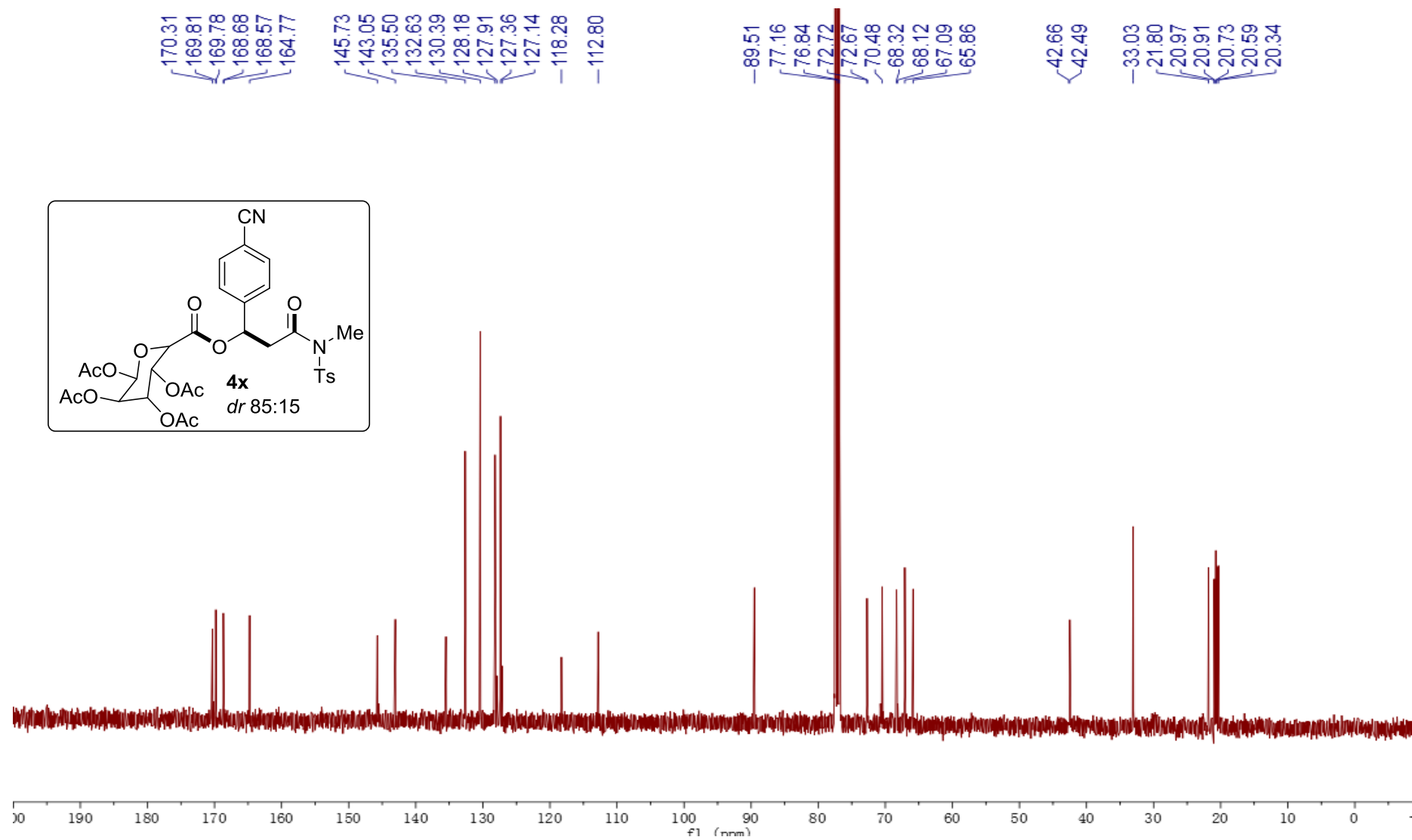


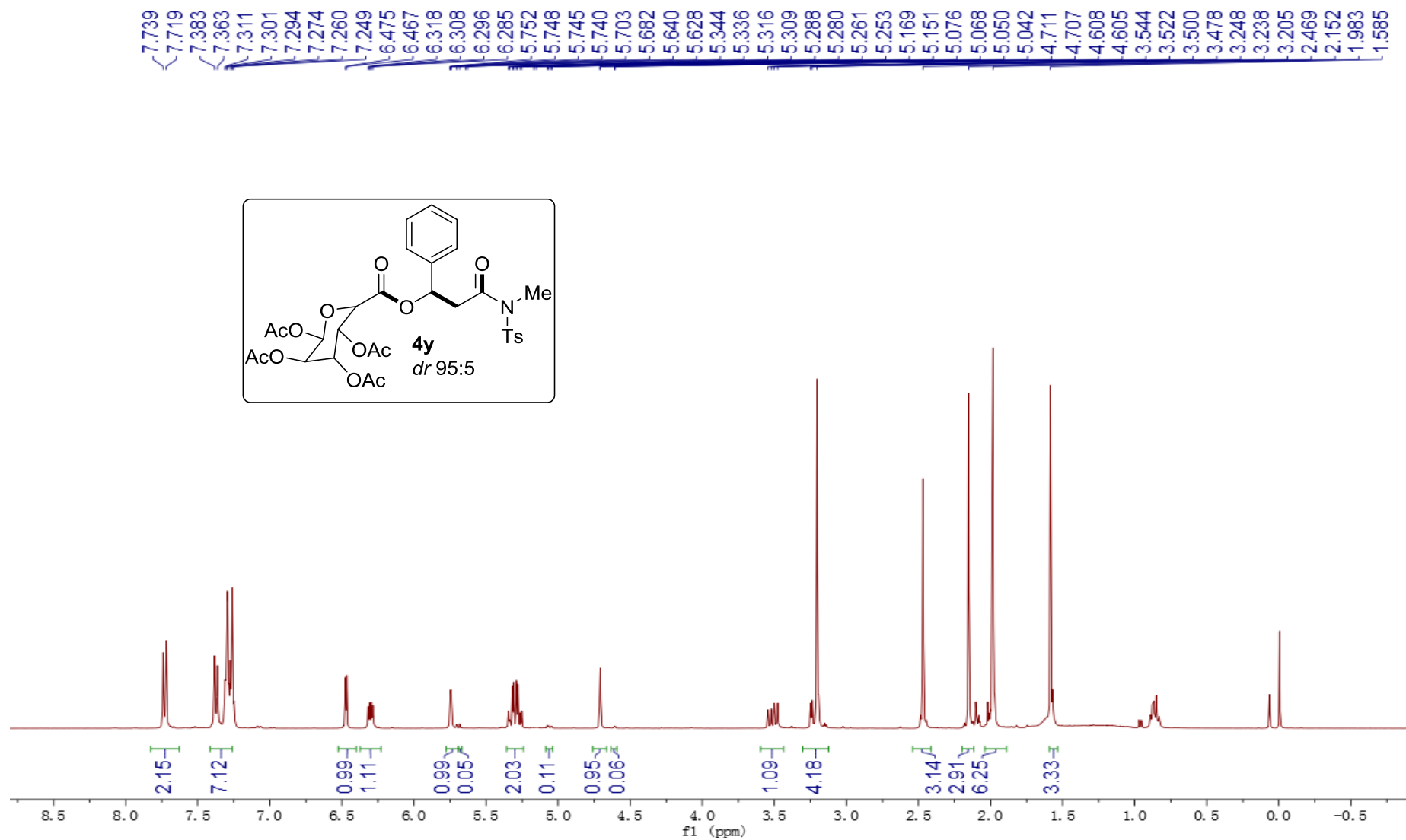


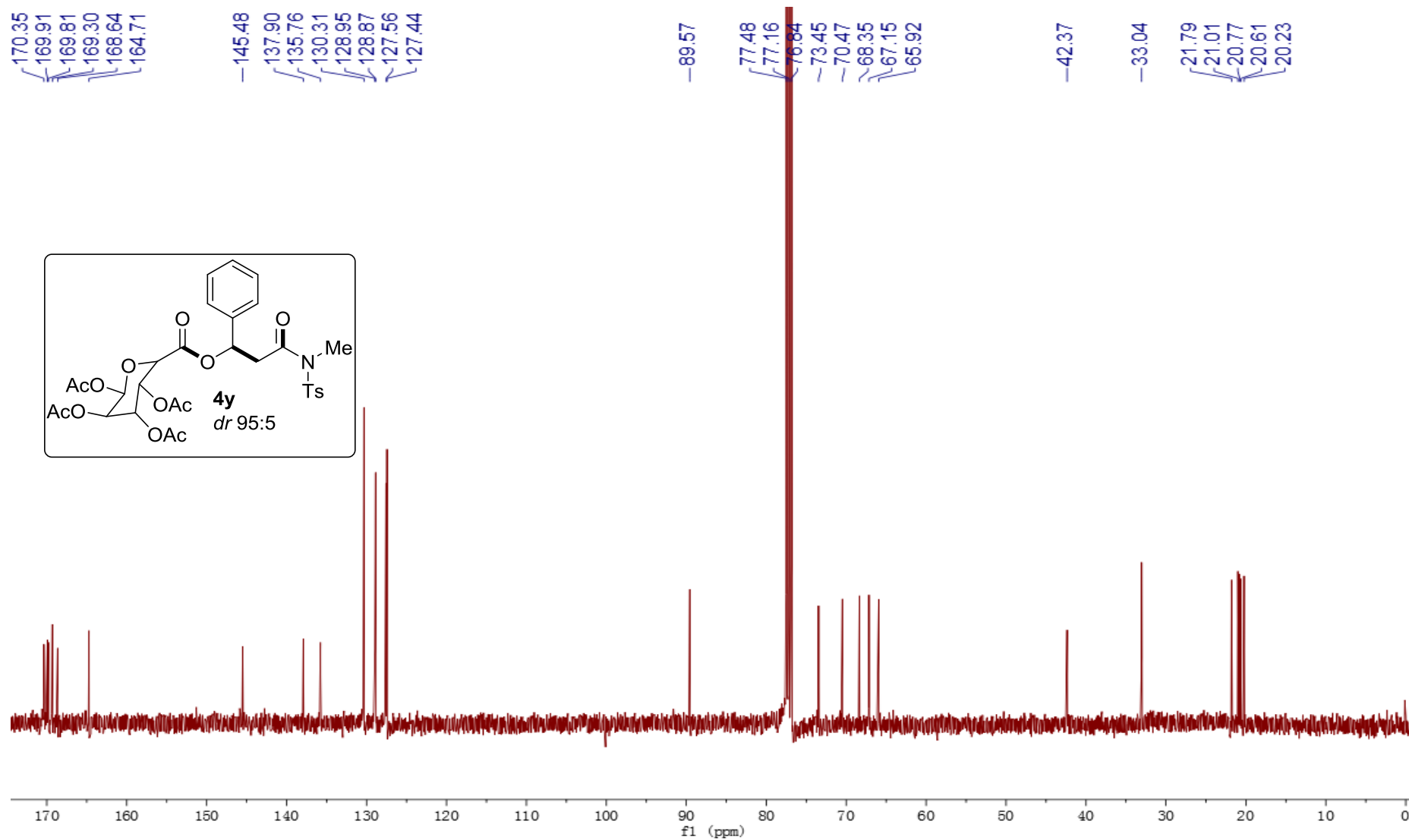


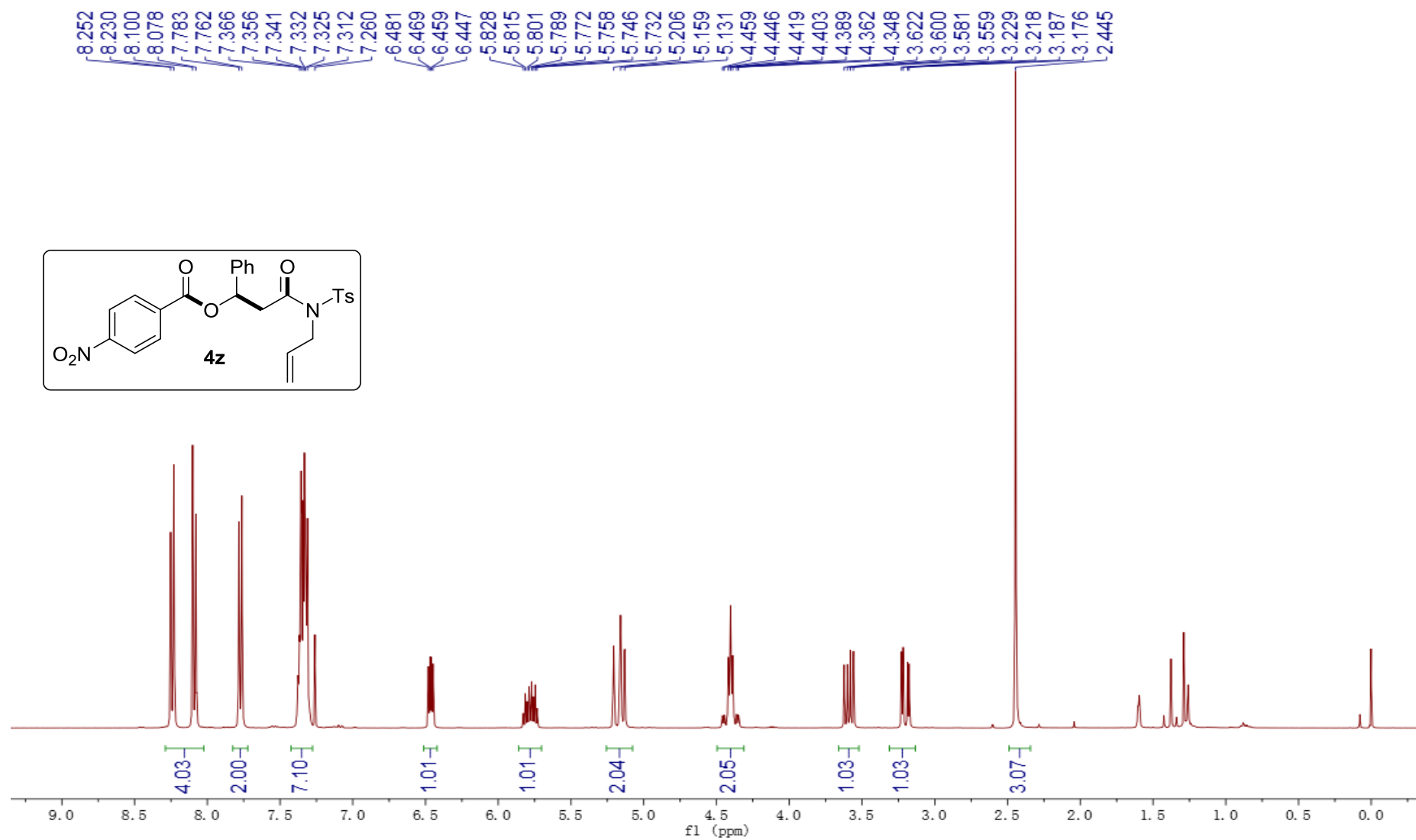


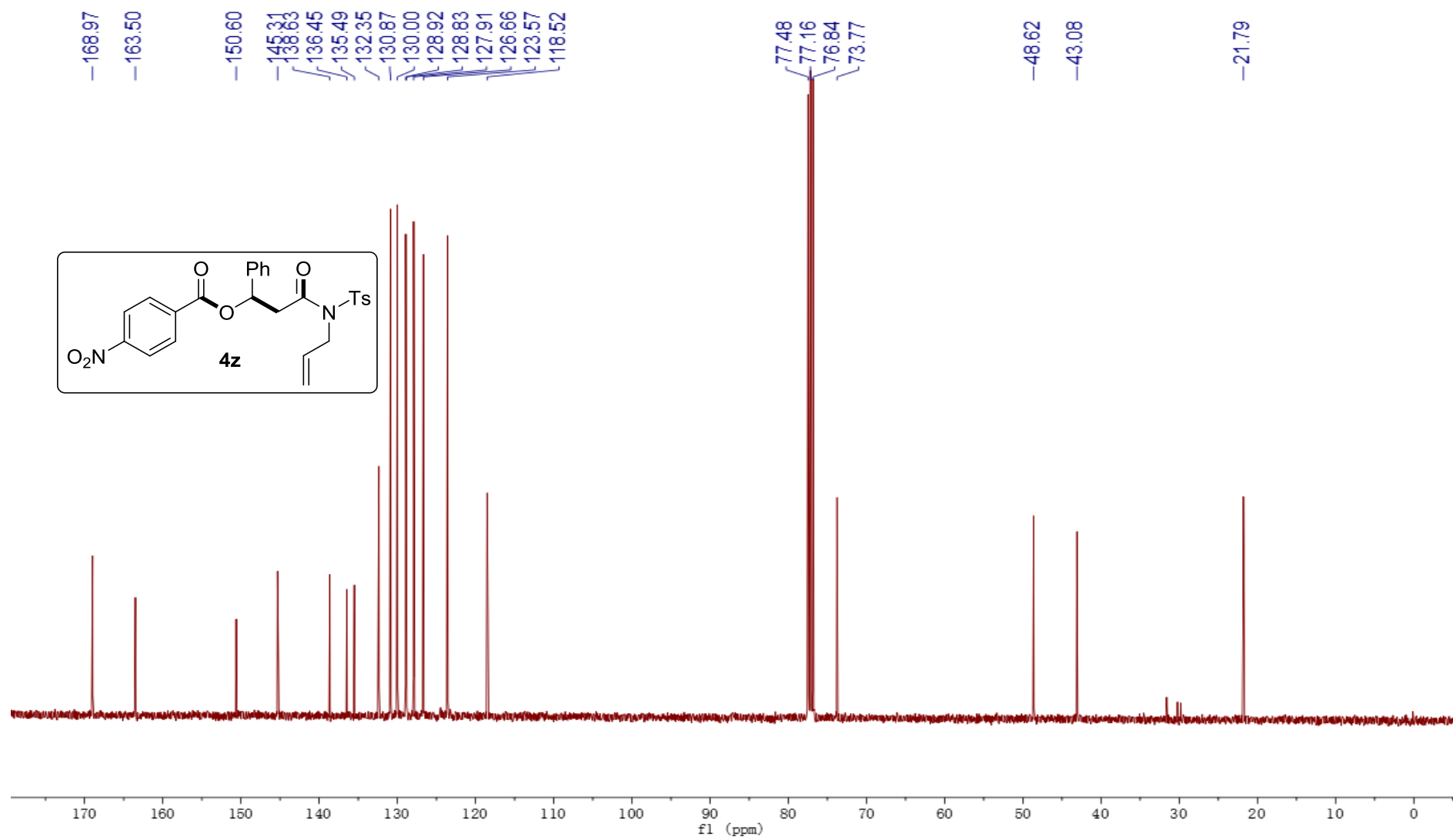


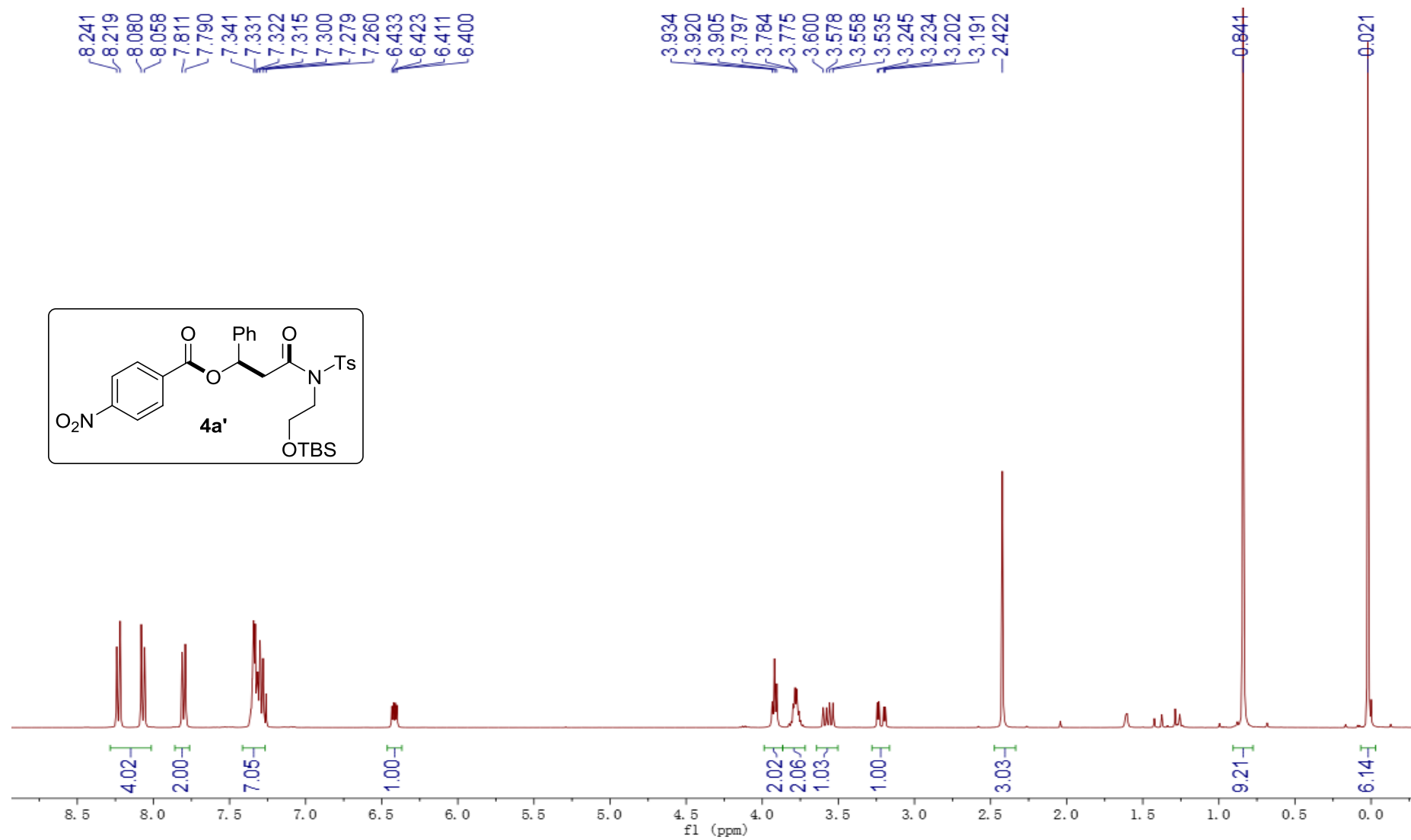


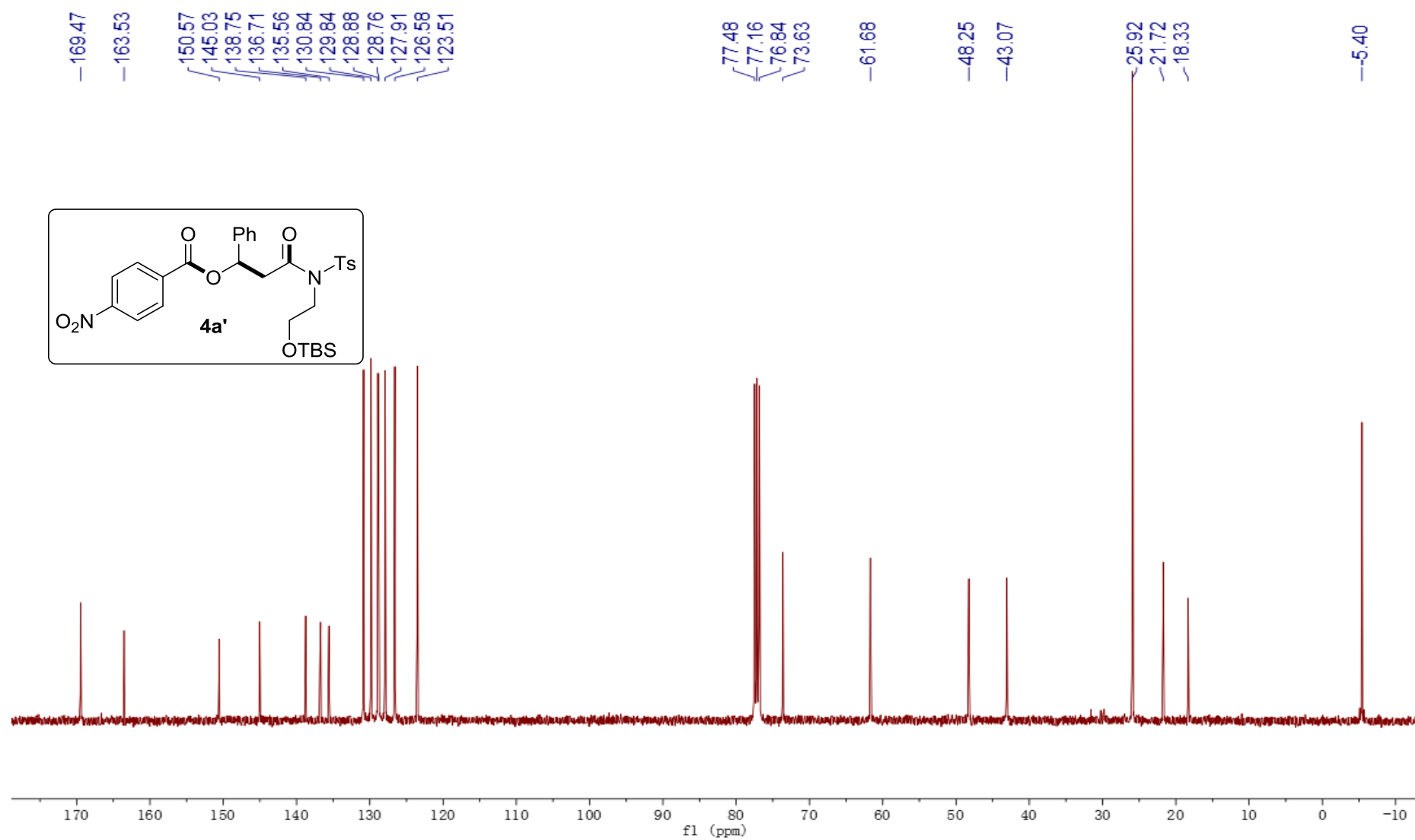


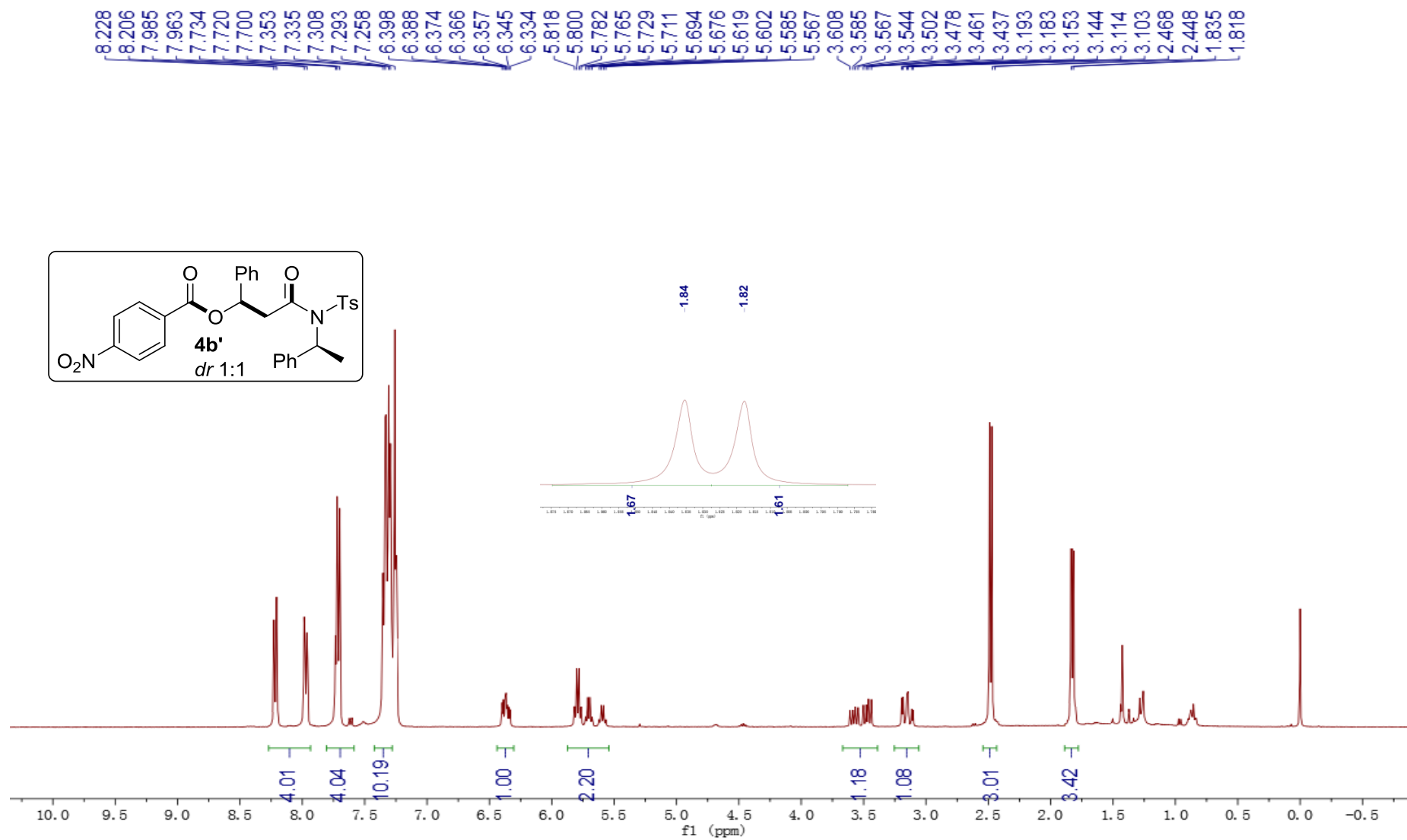


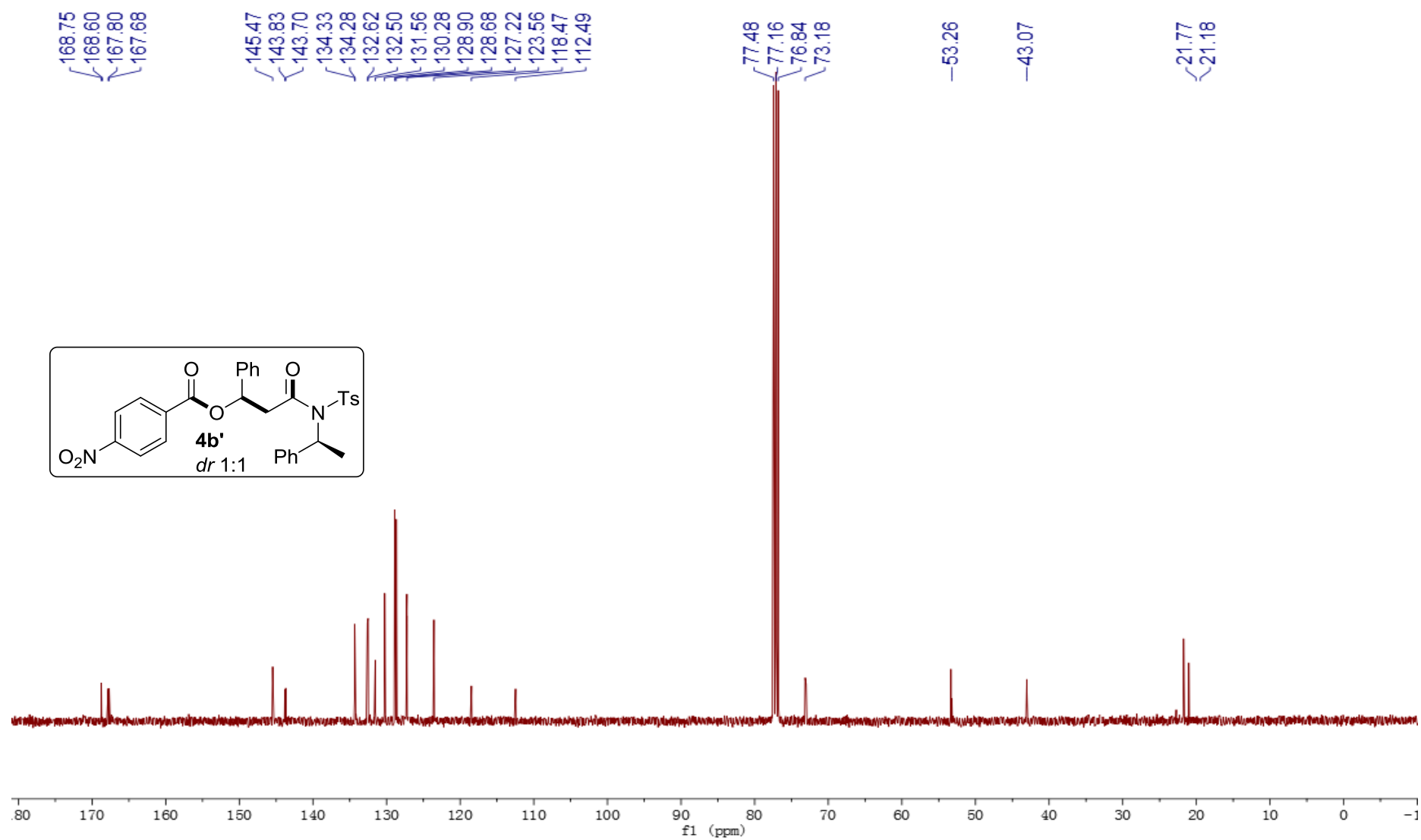


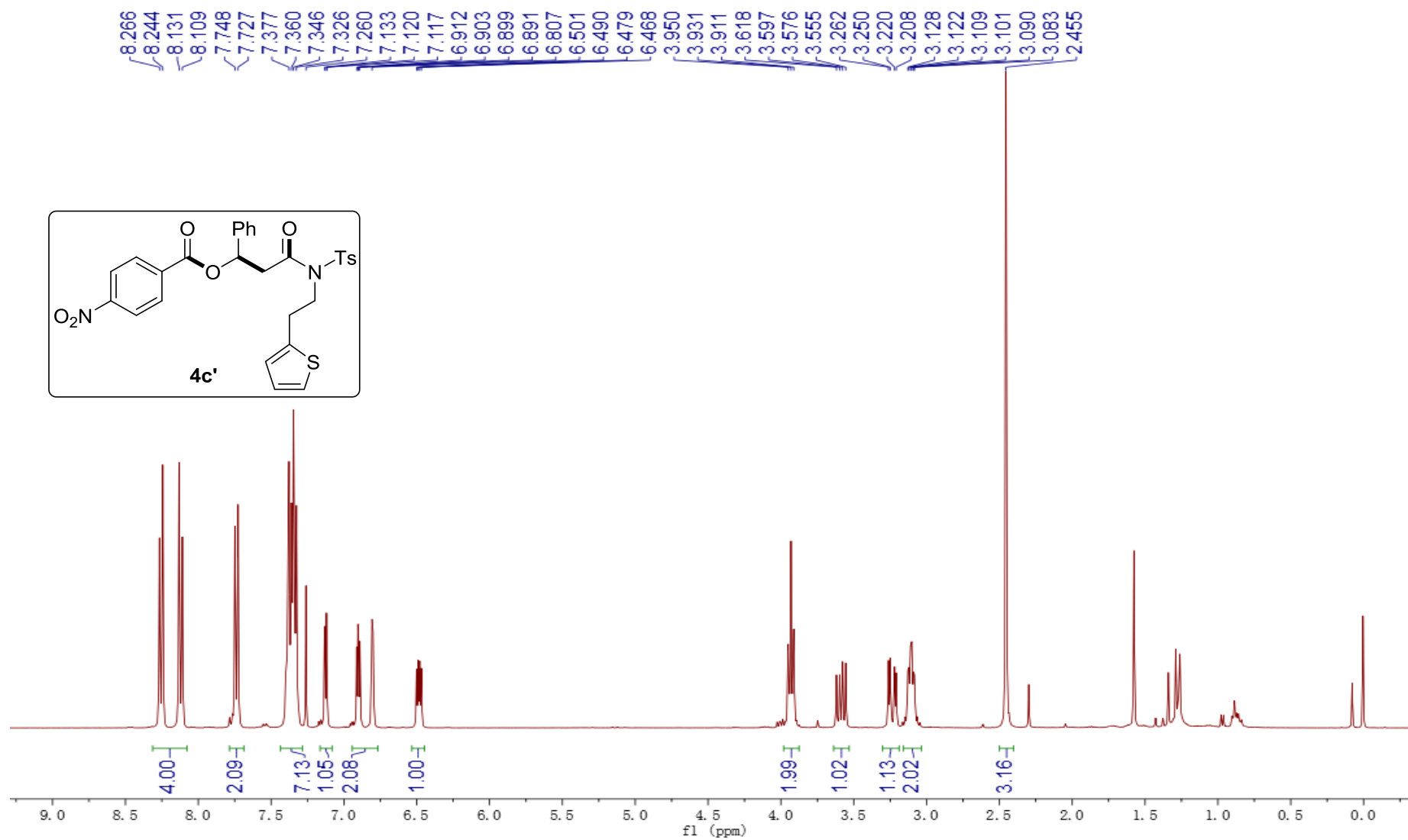


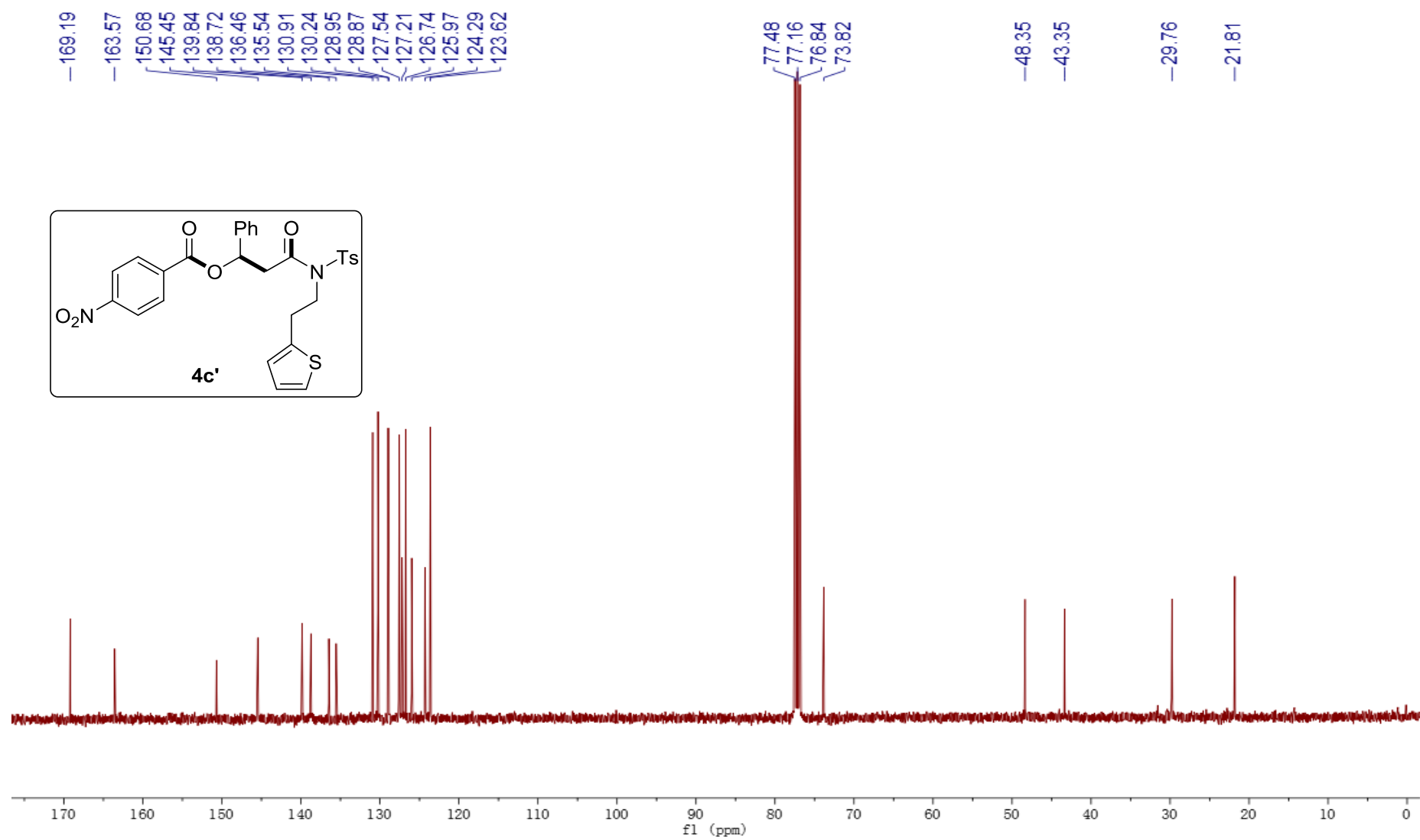


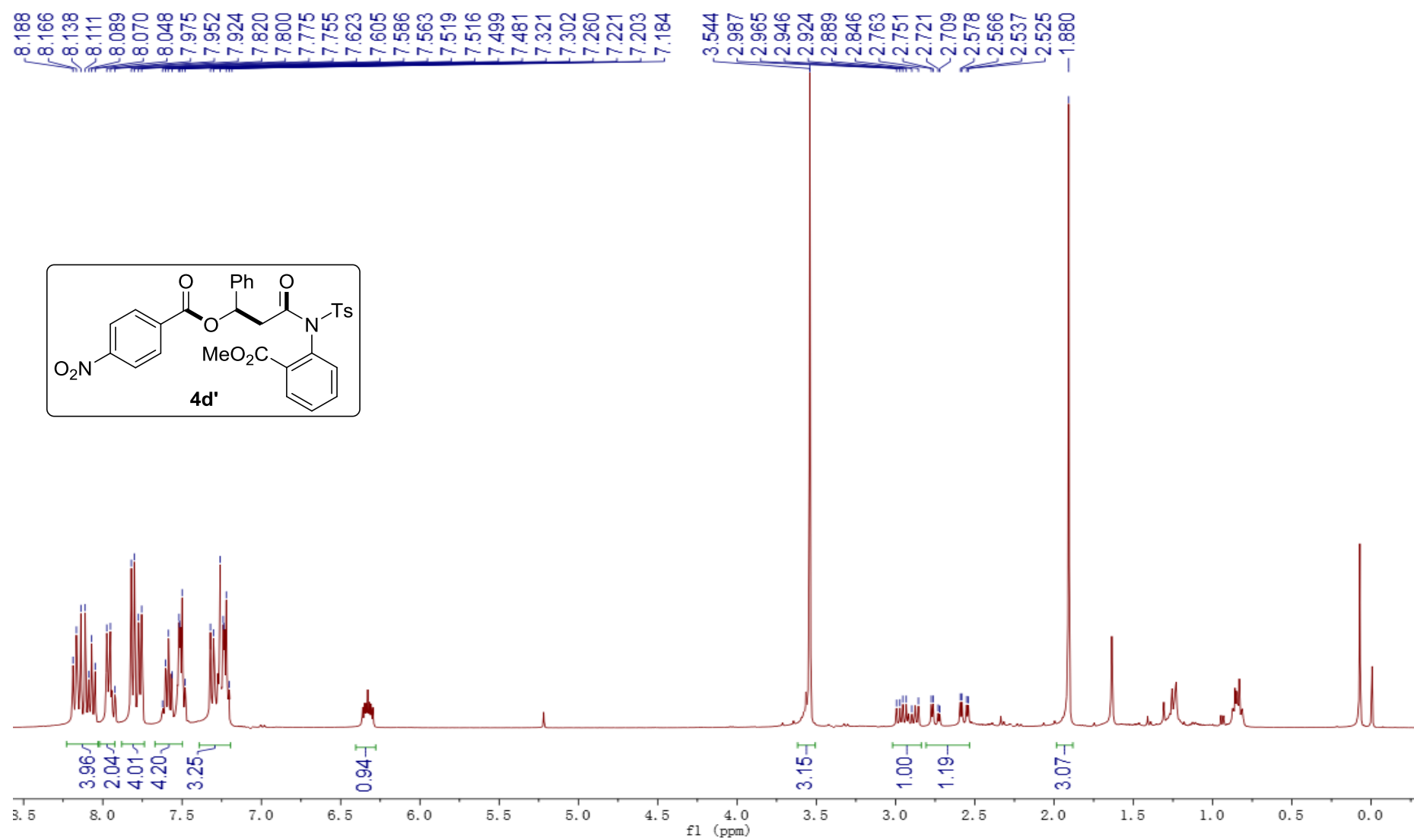


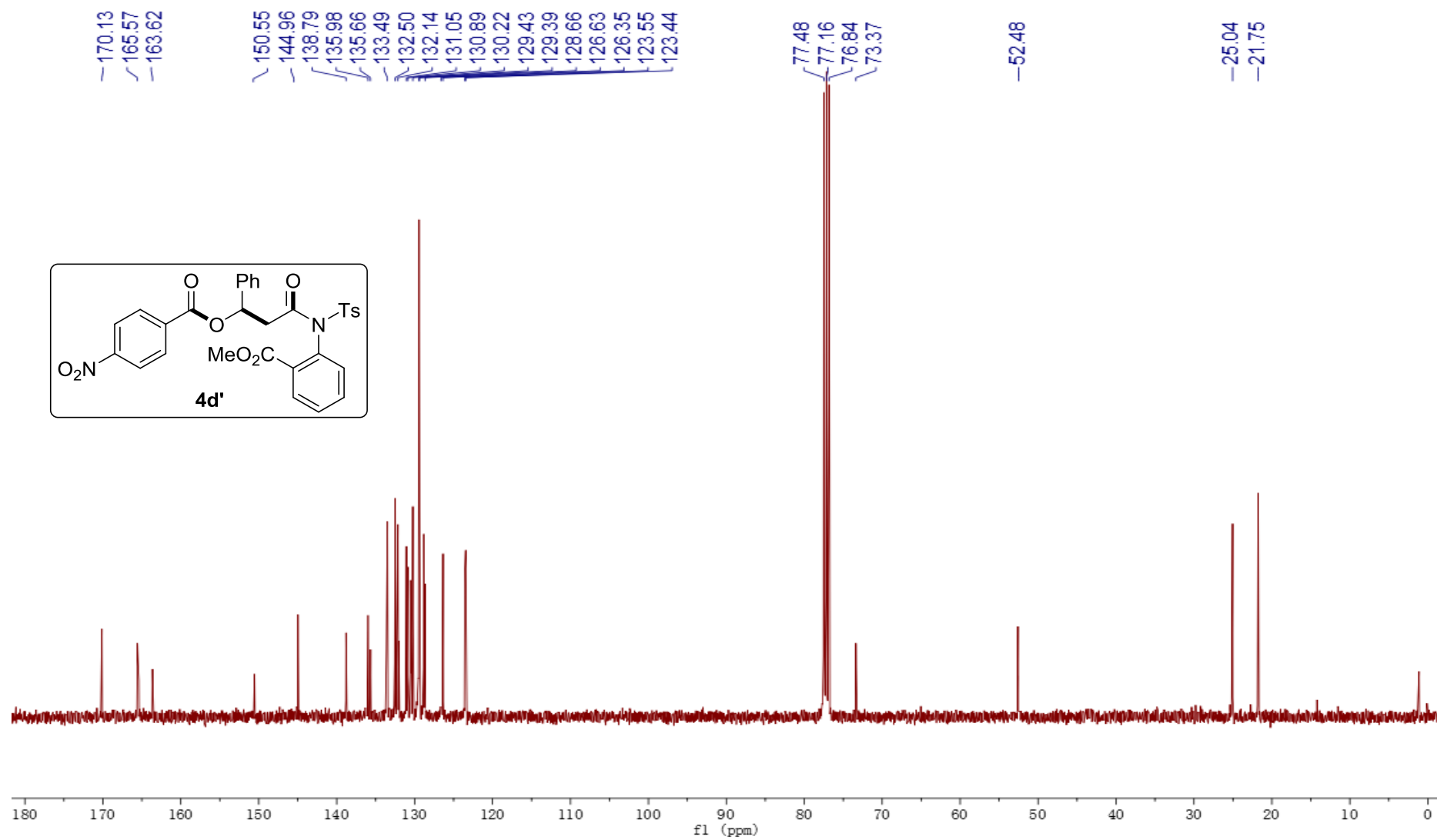


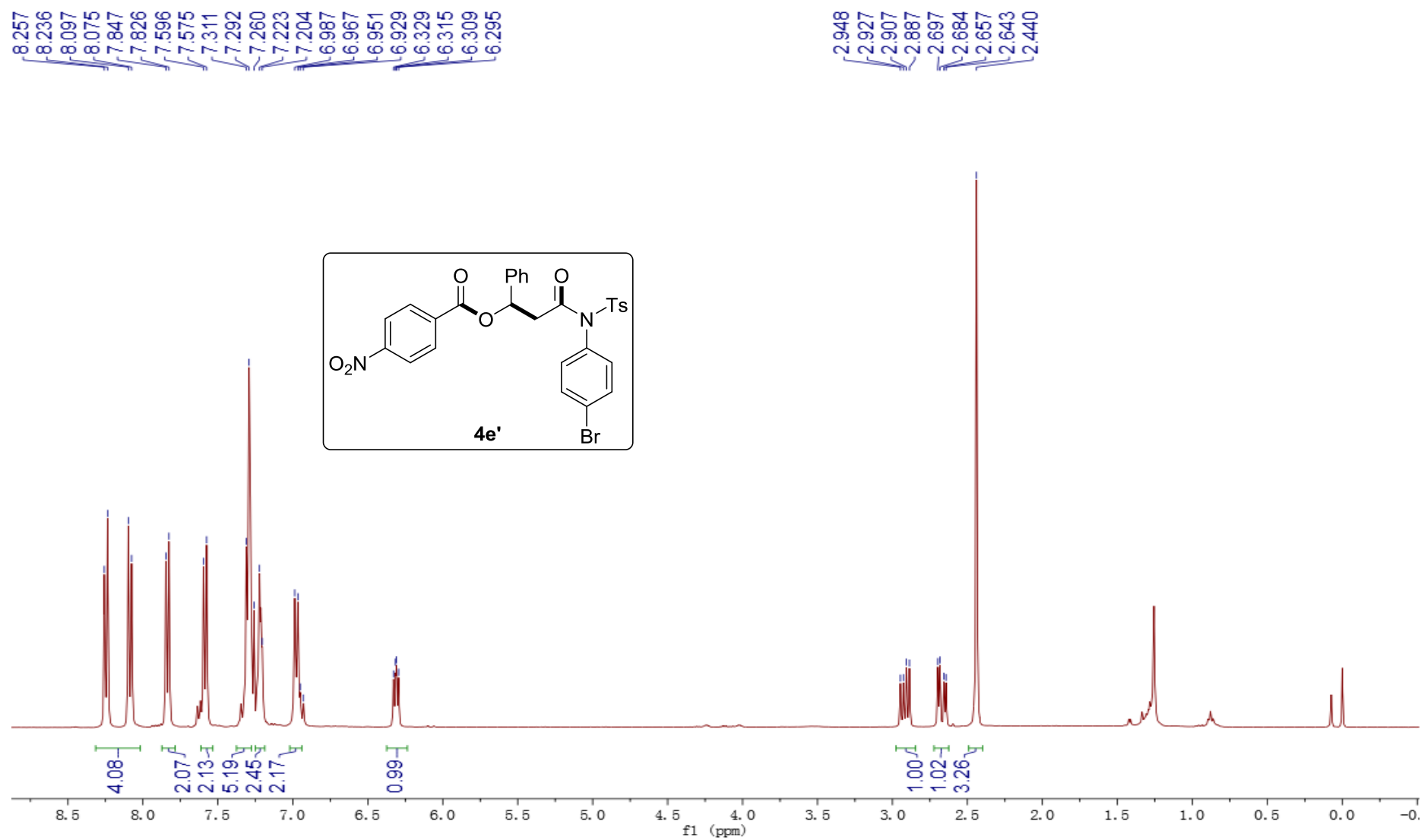


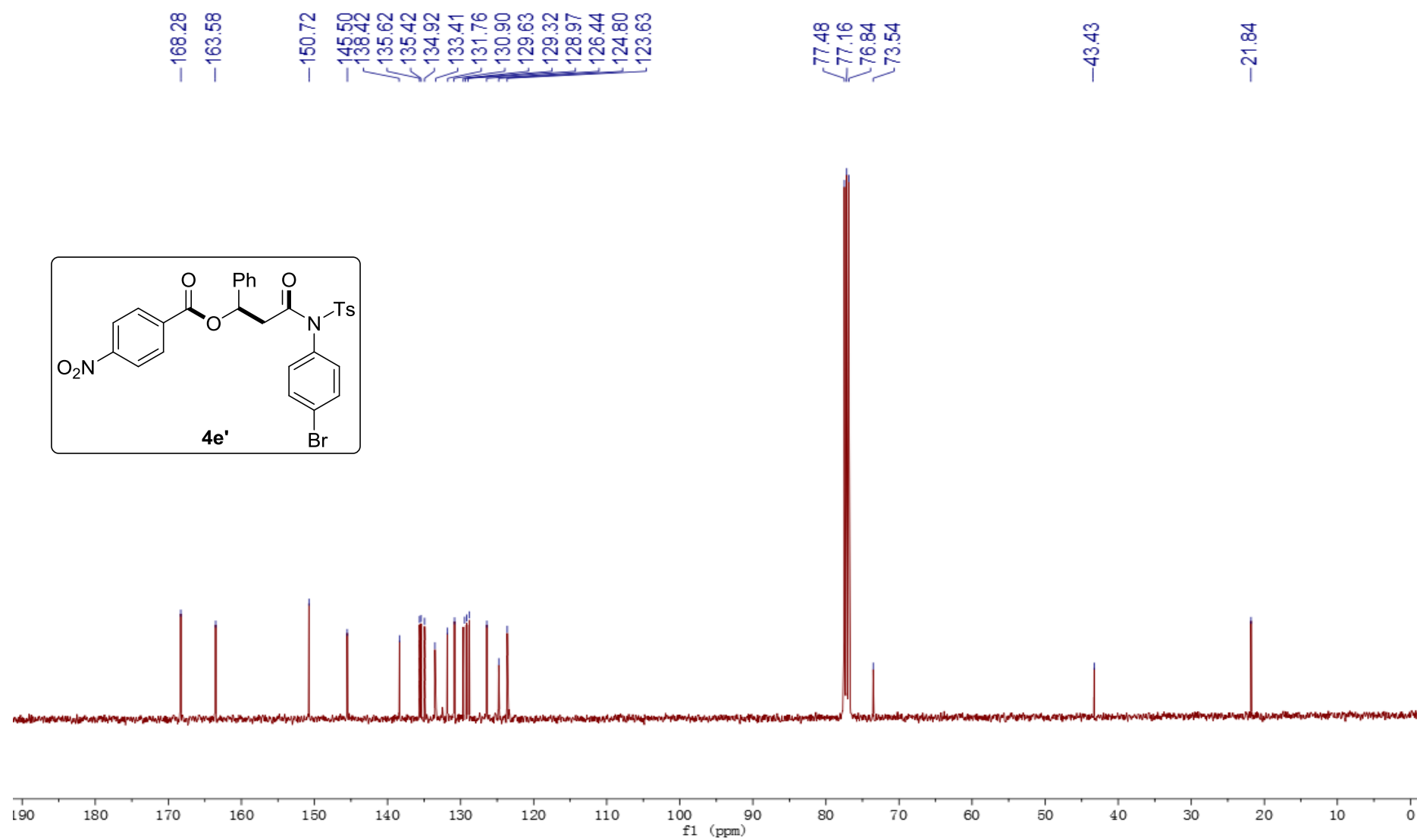


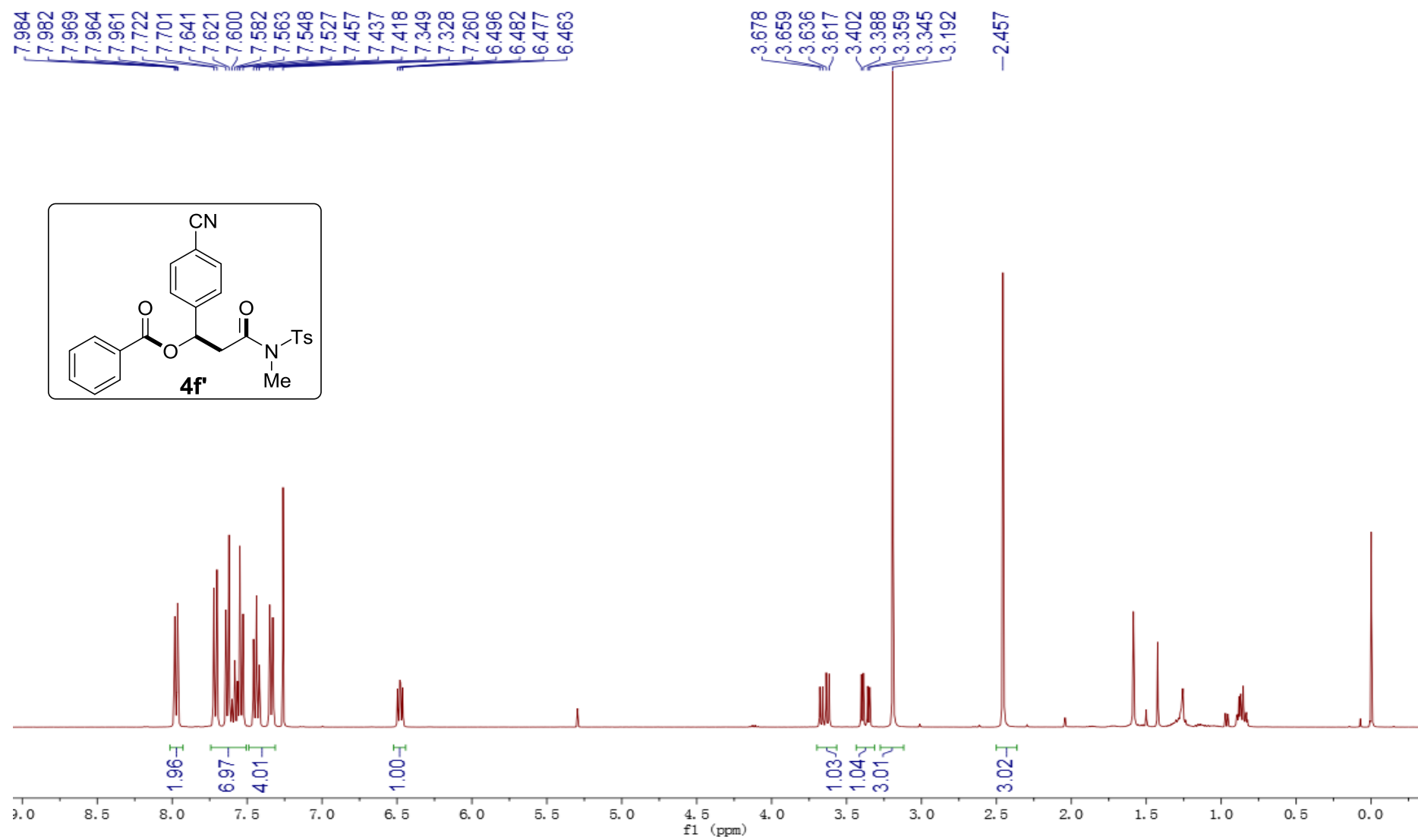


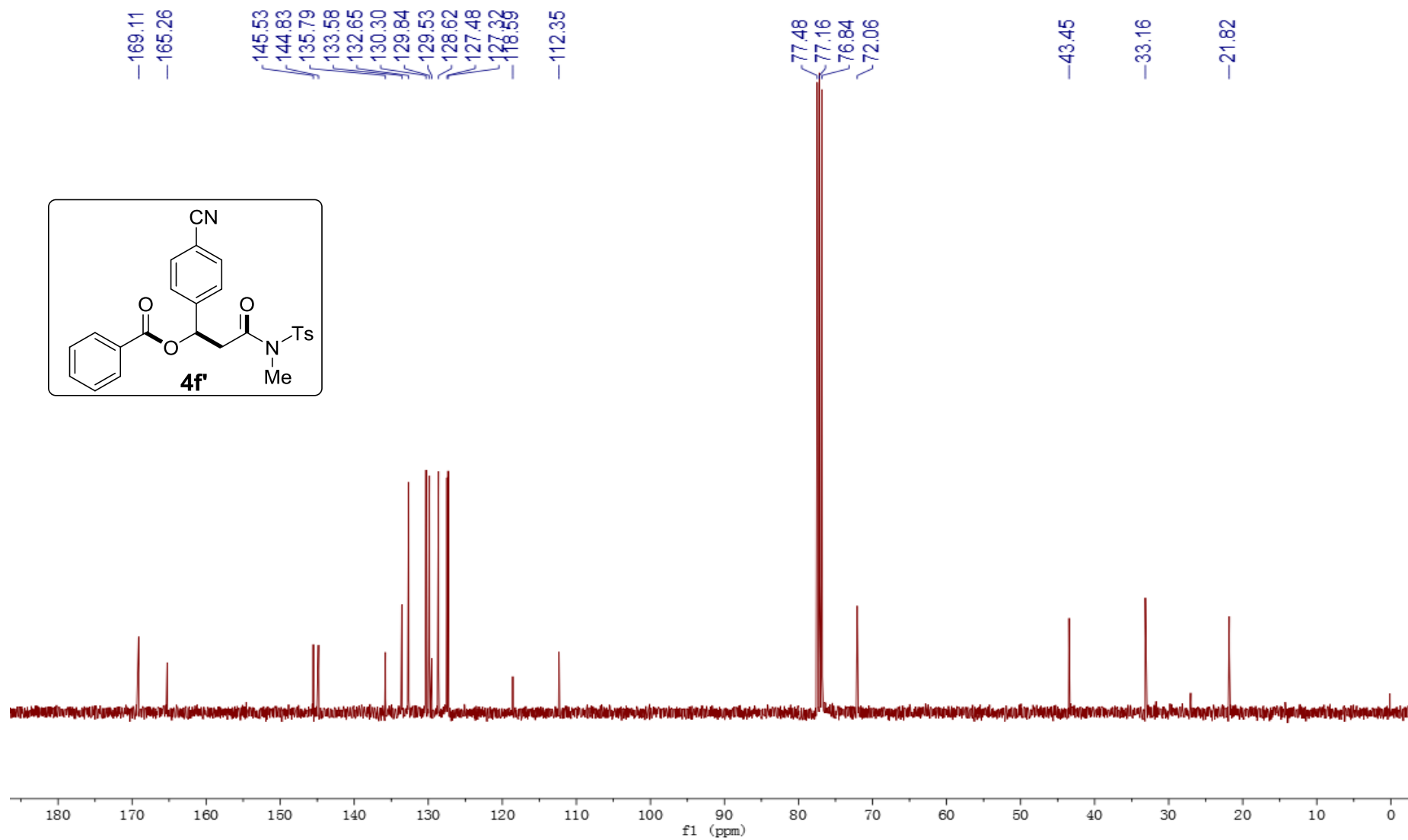


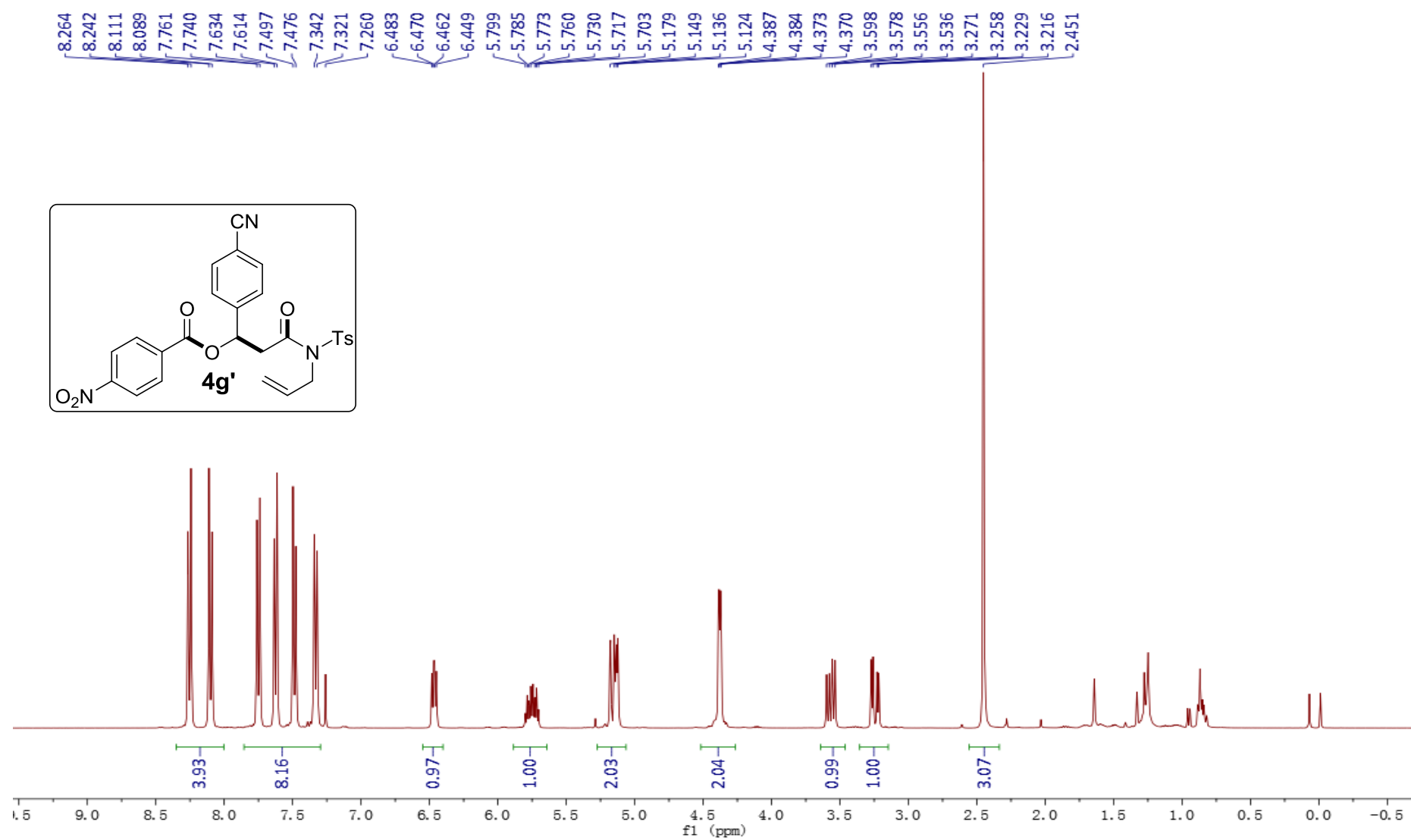


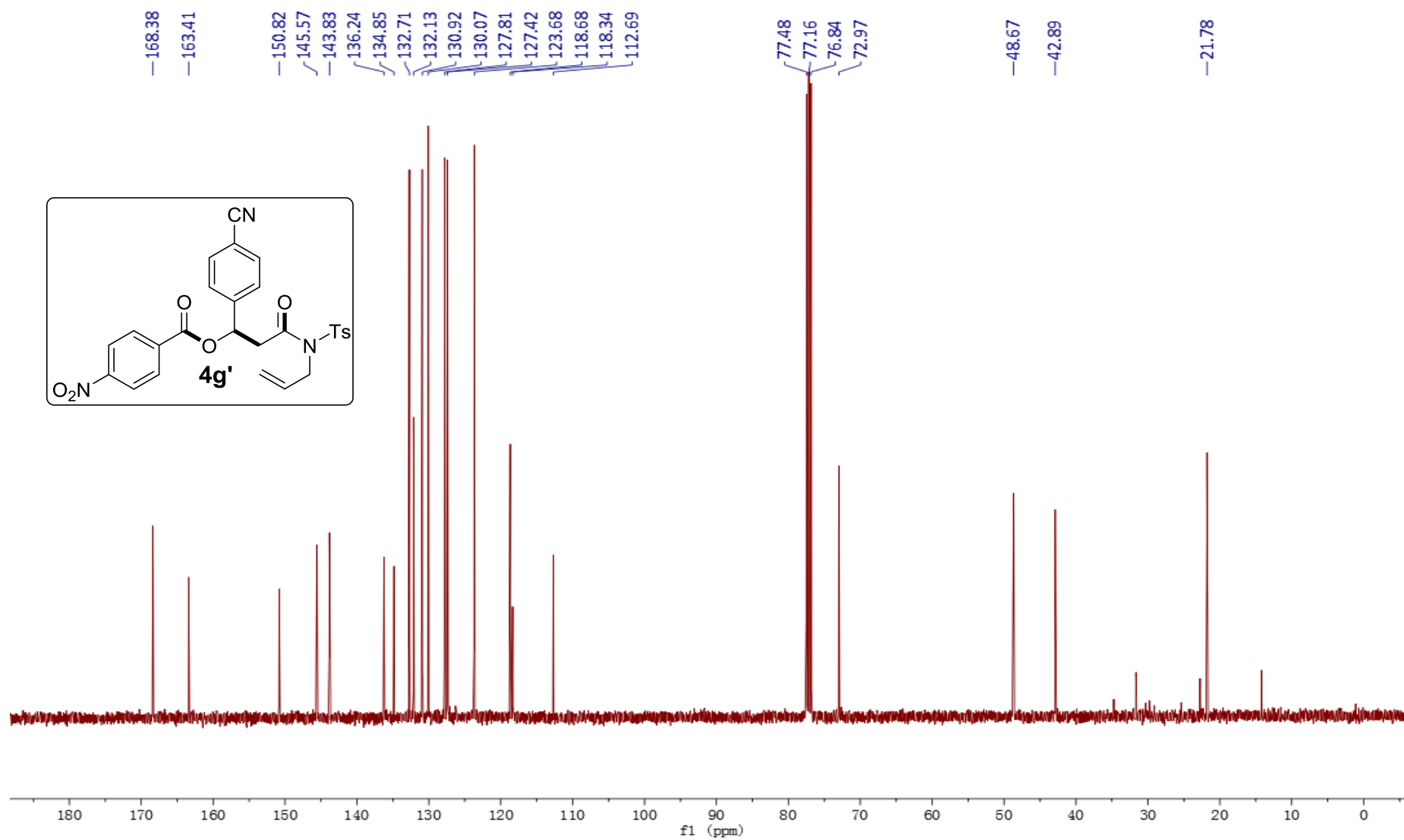


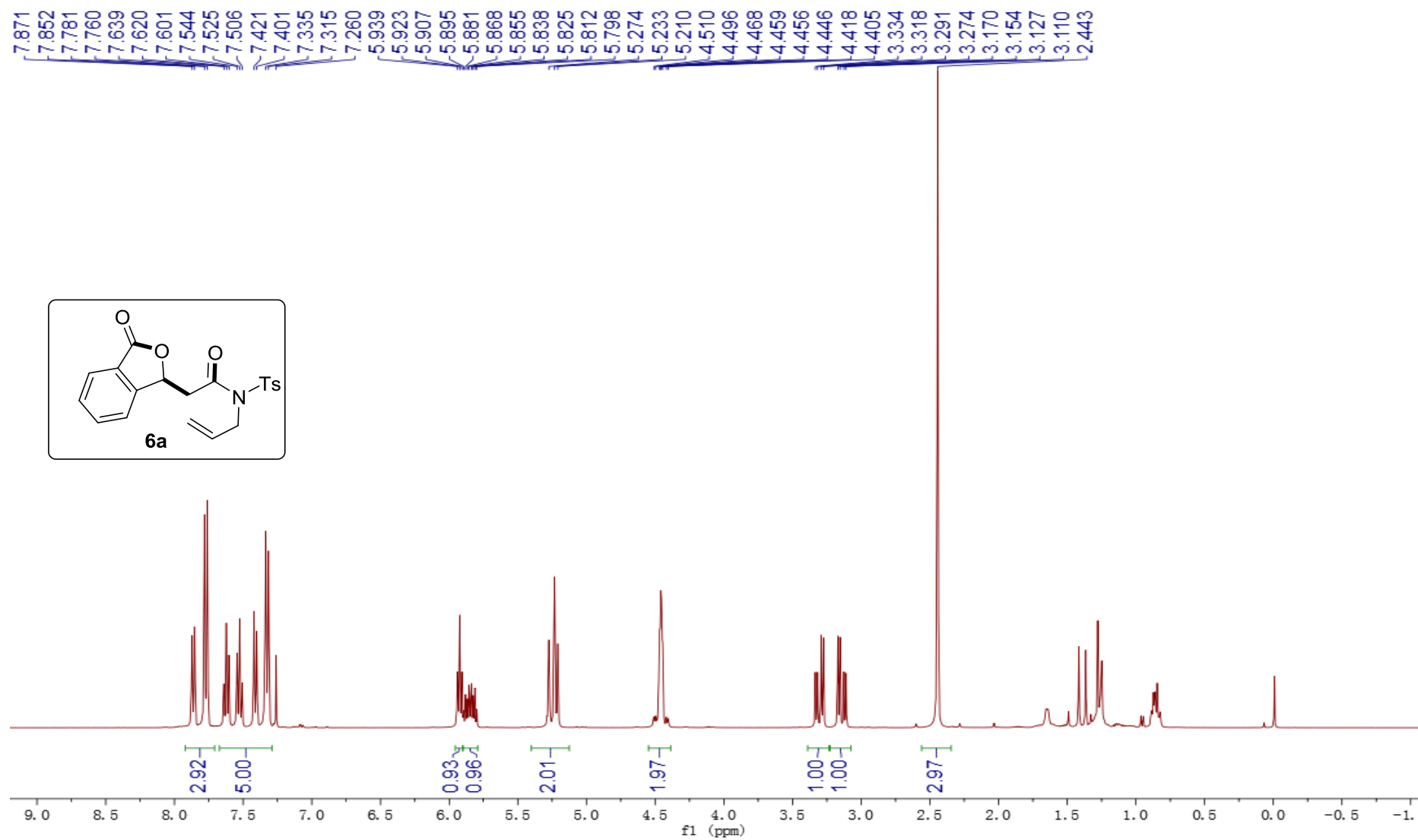


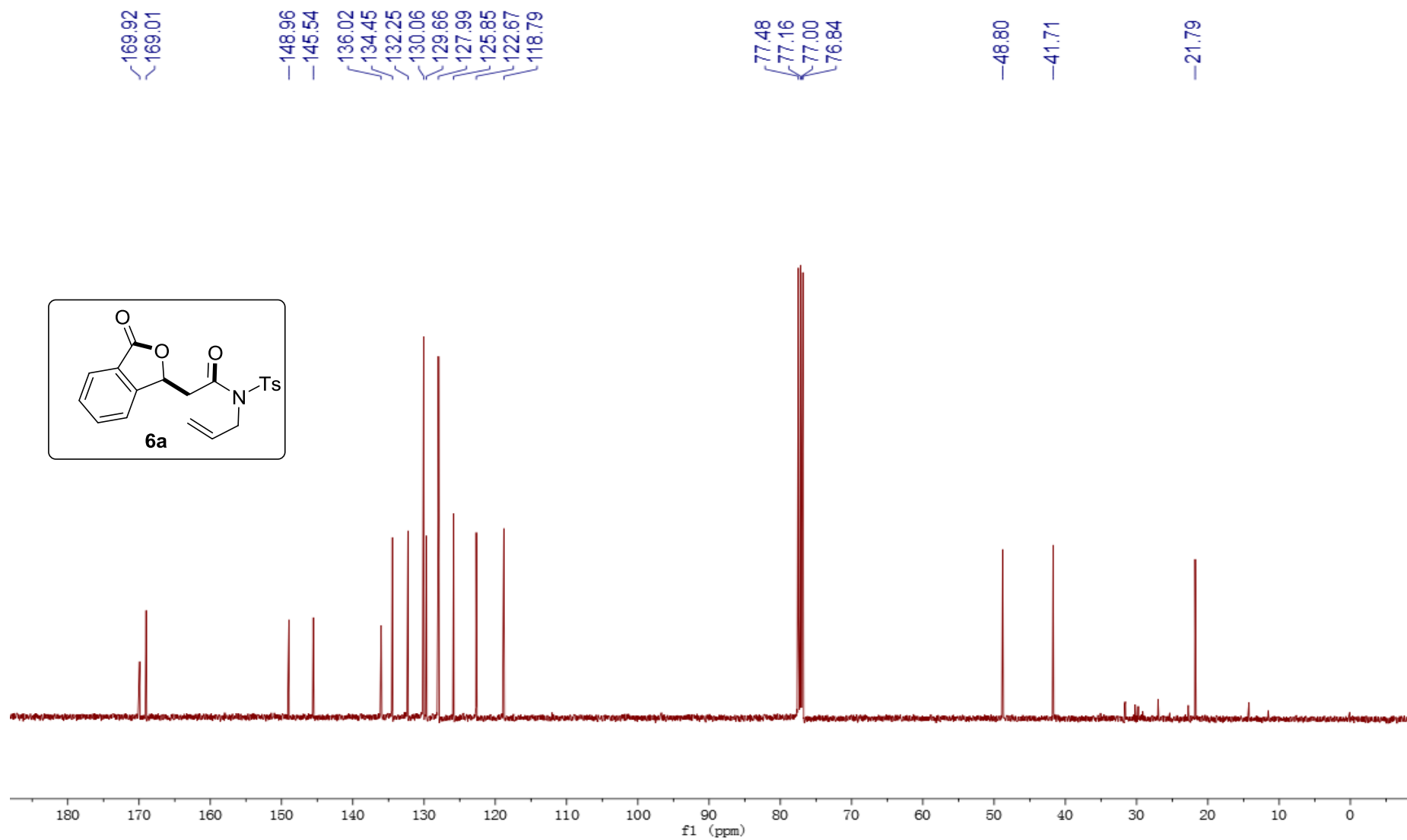




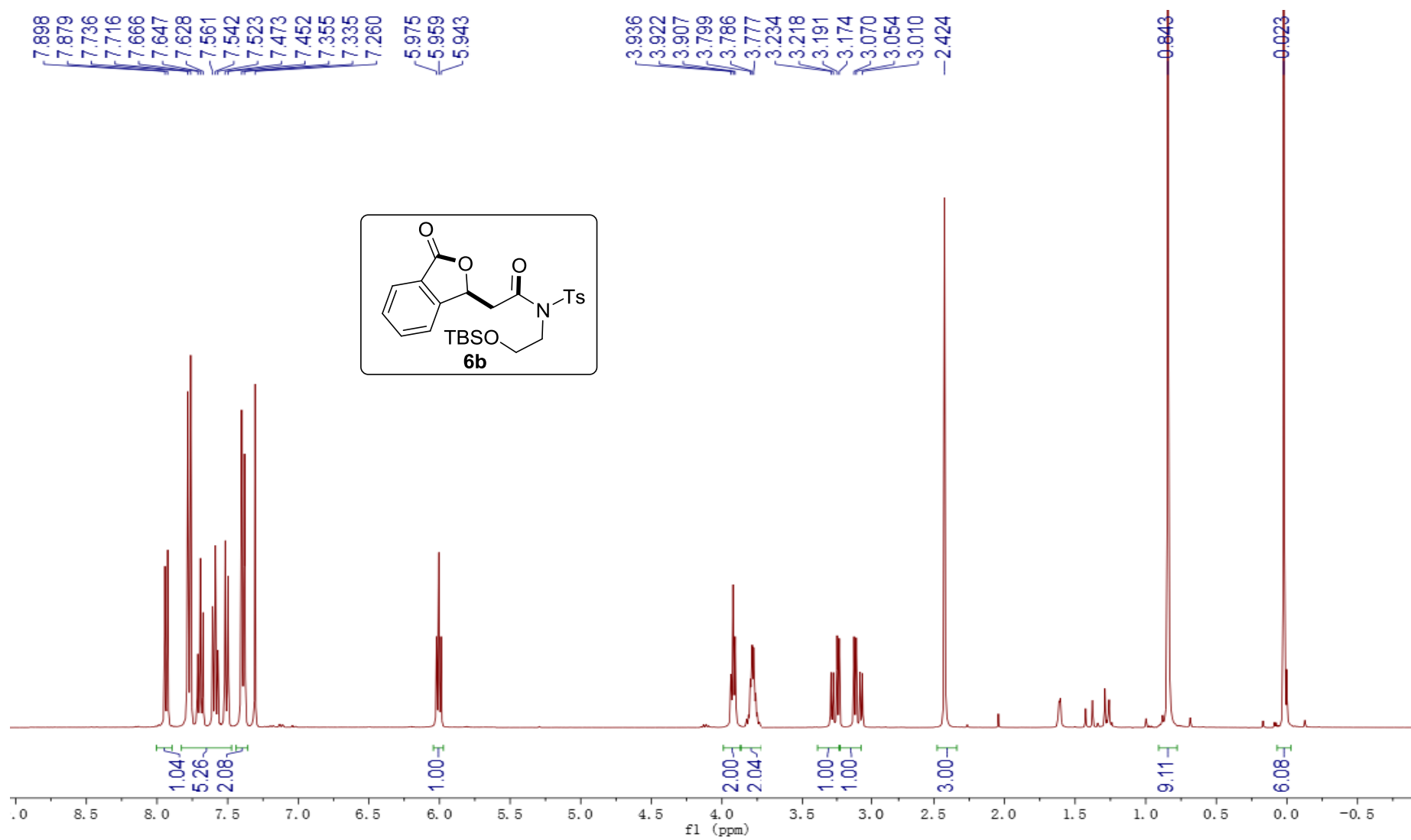


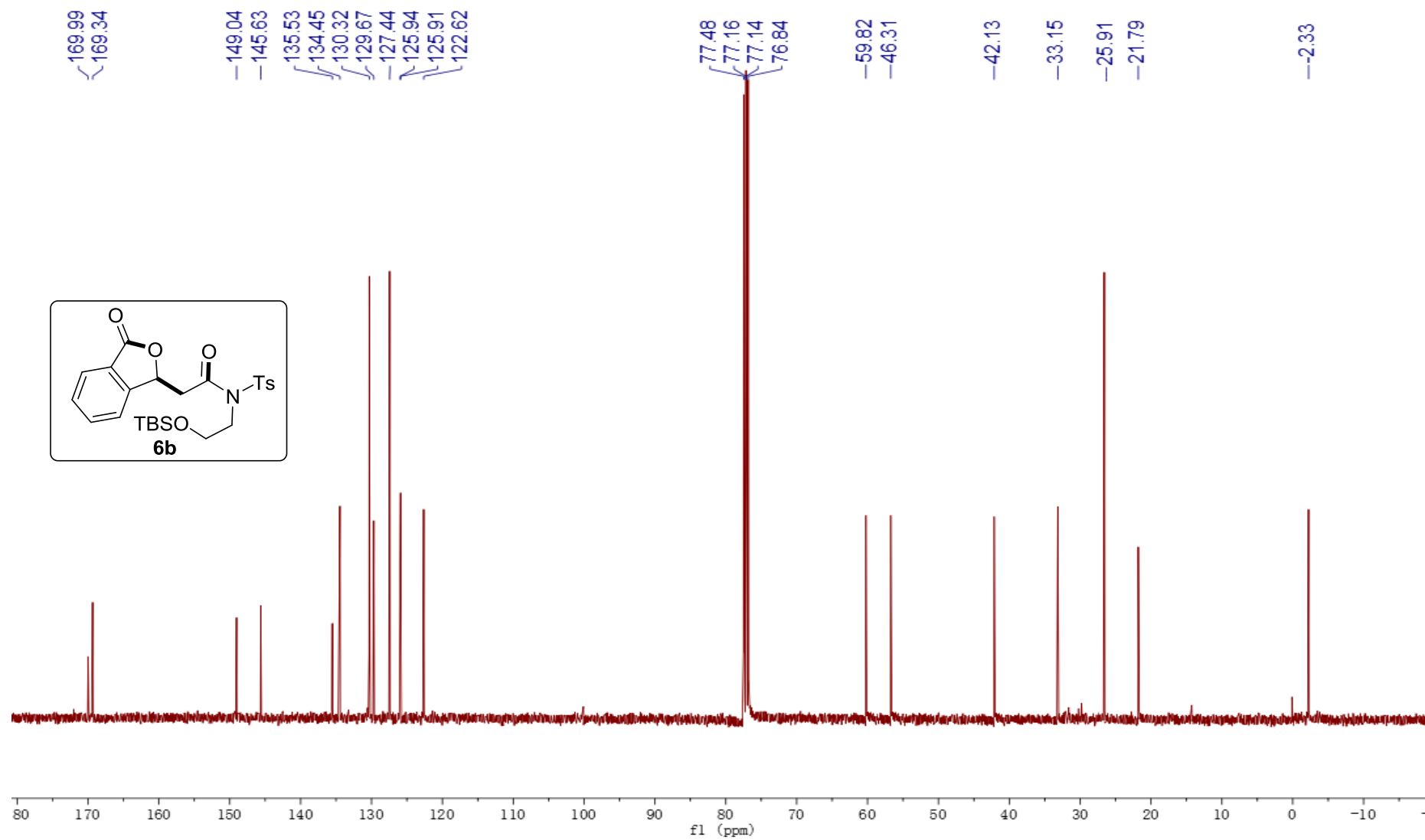




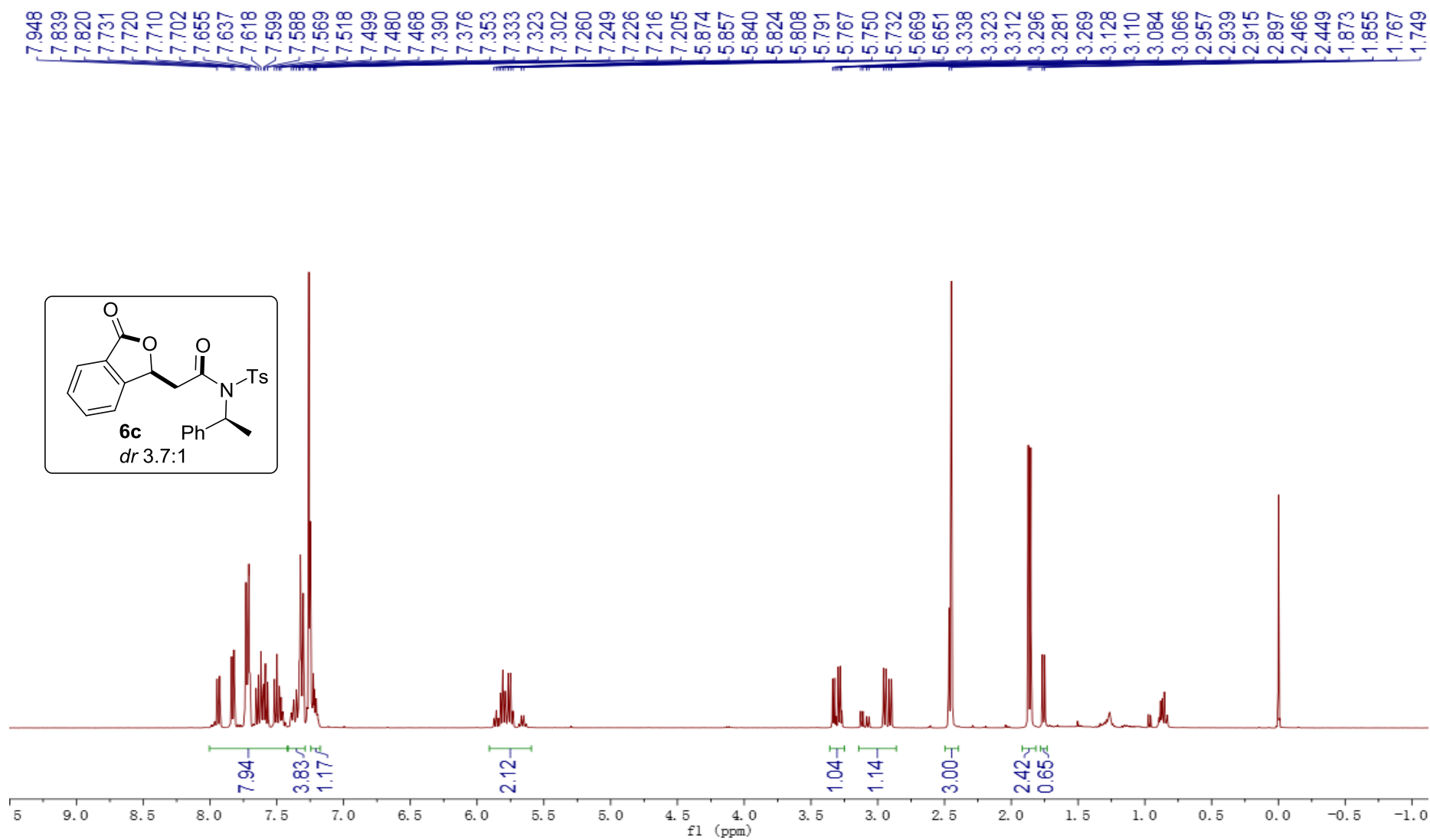


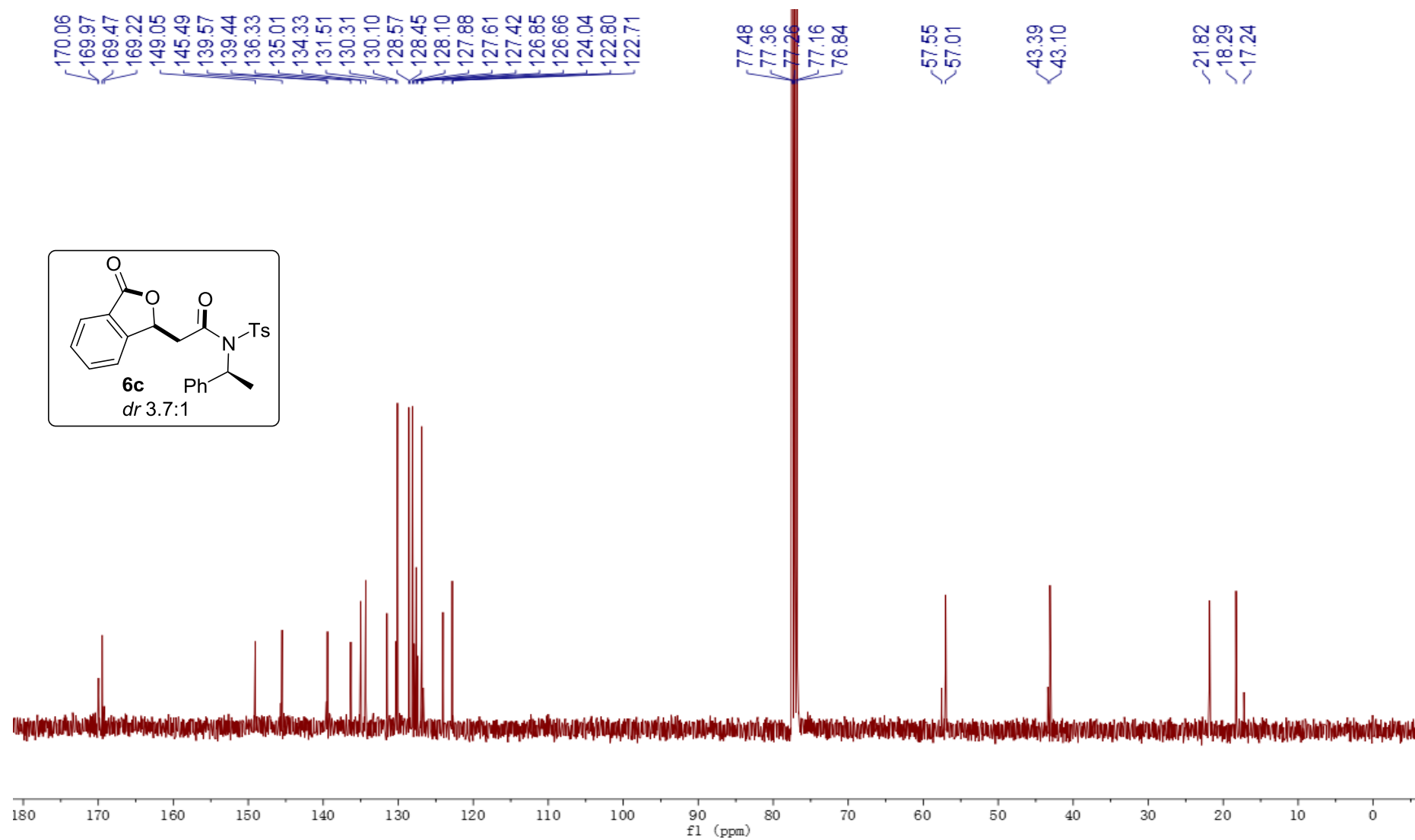
S101



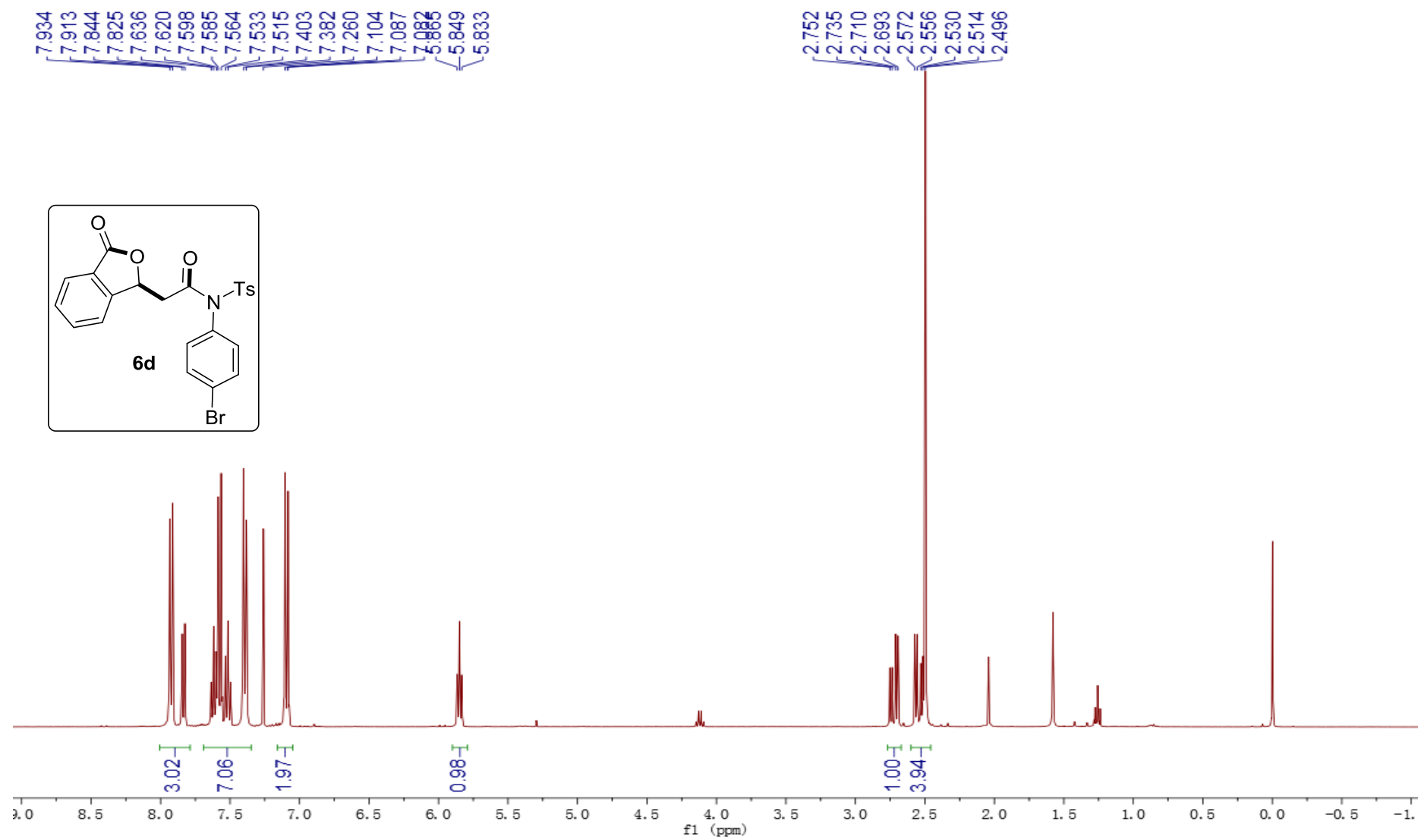


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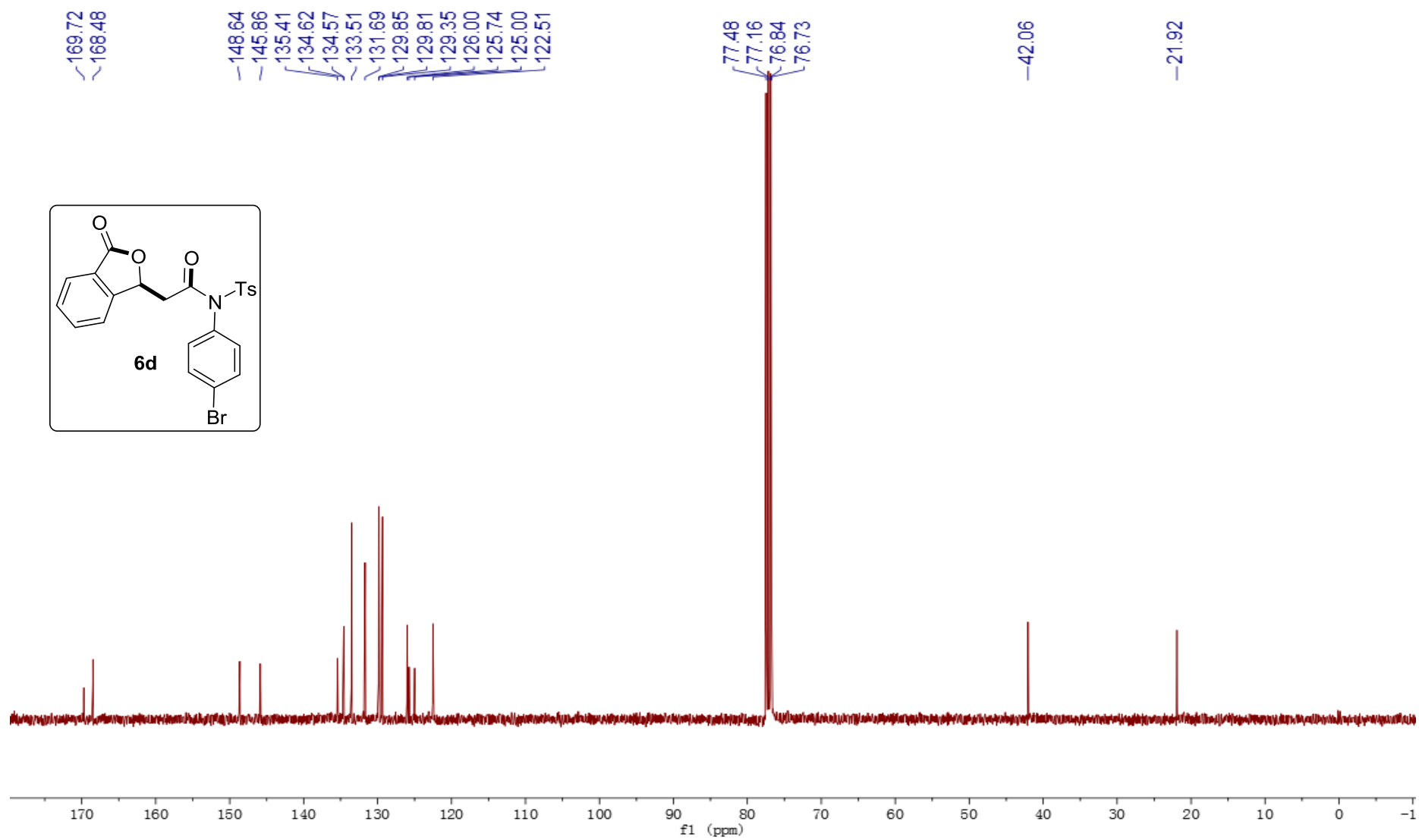




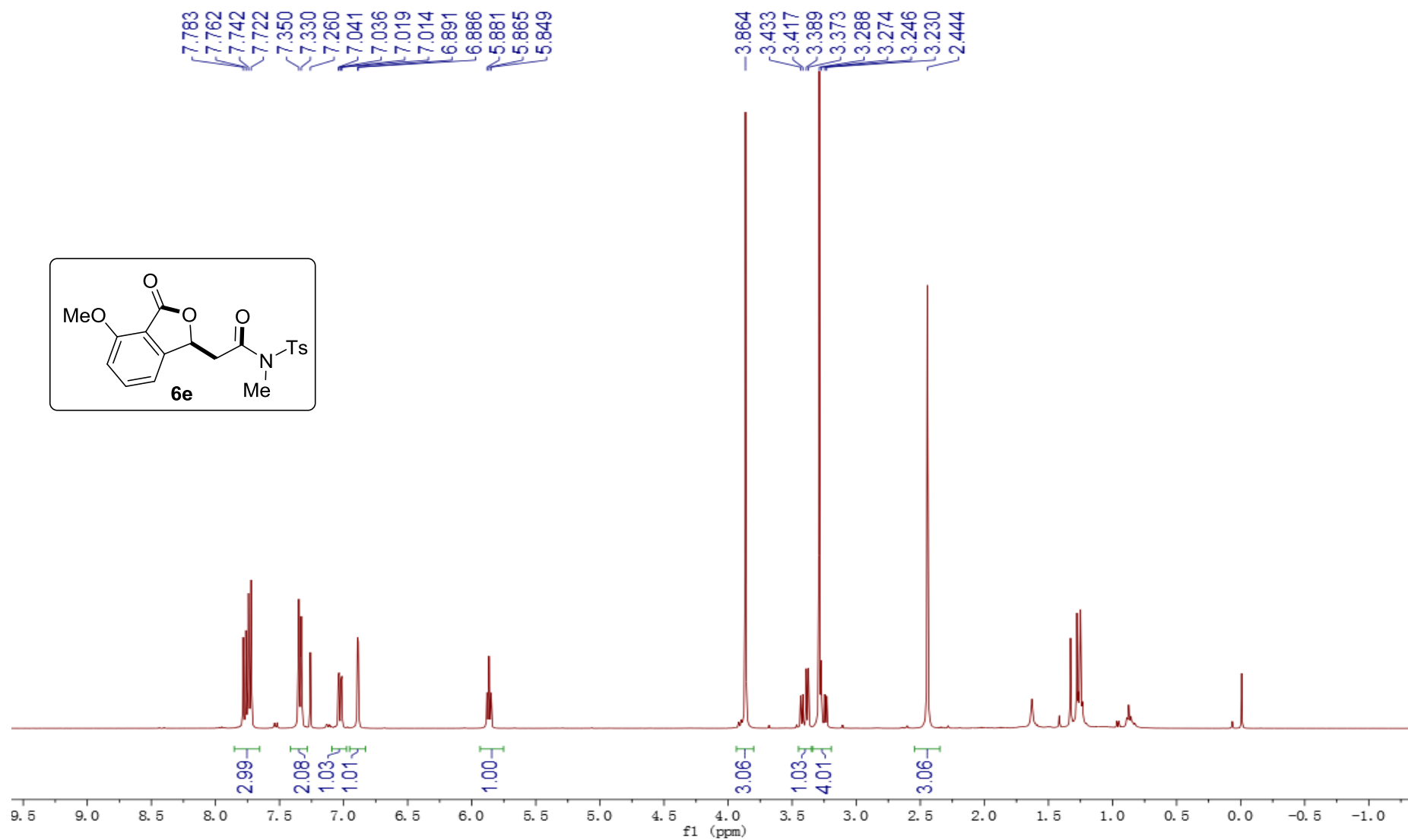
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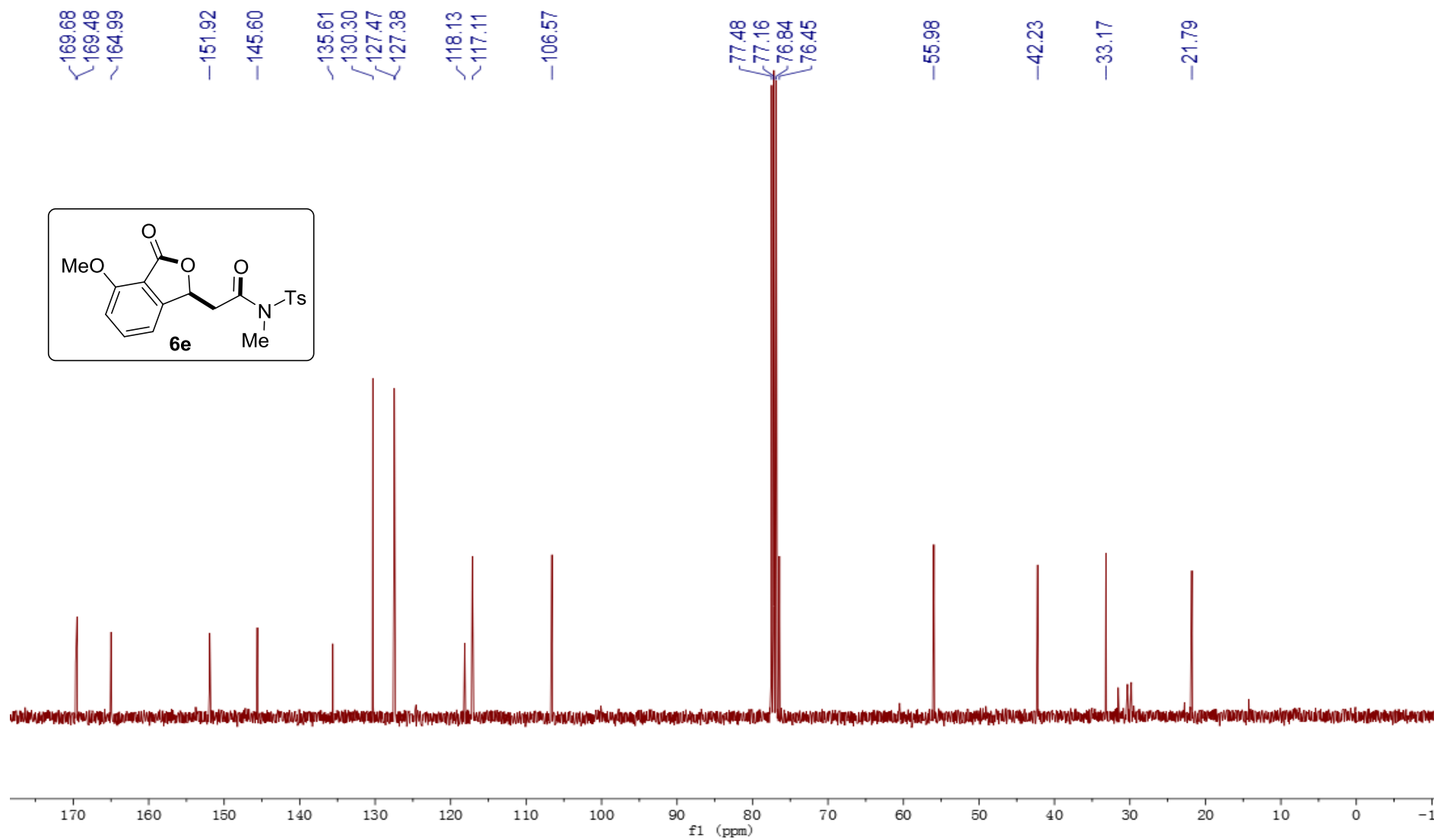


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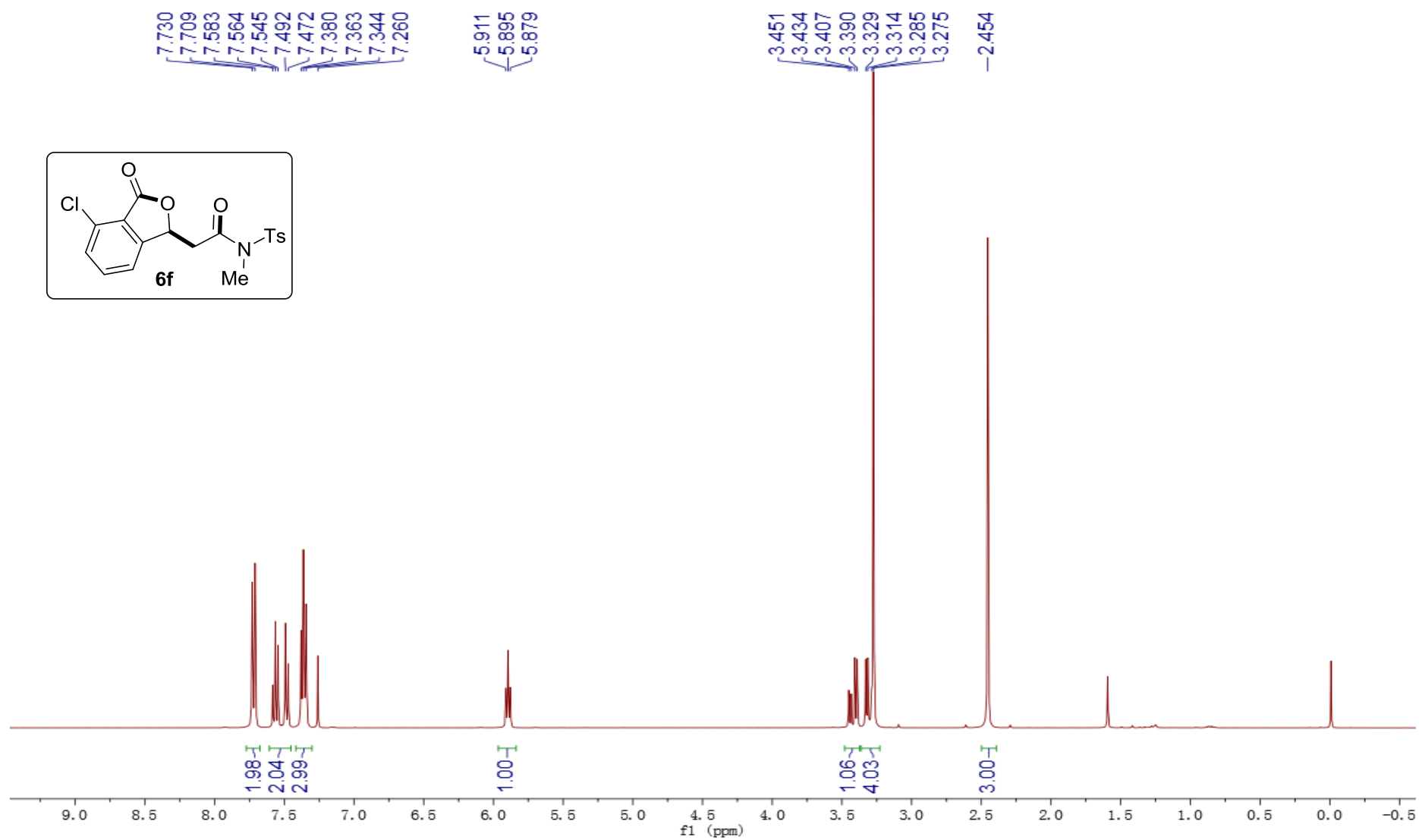


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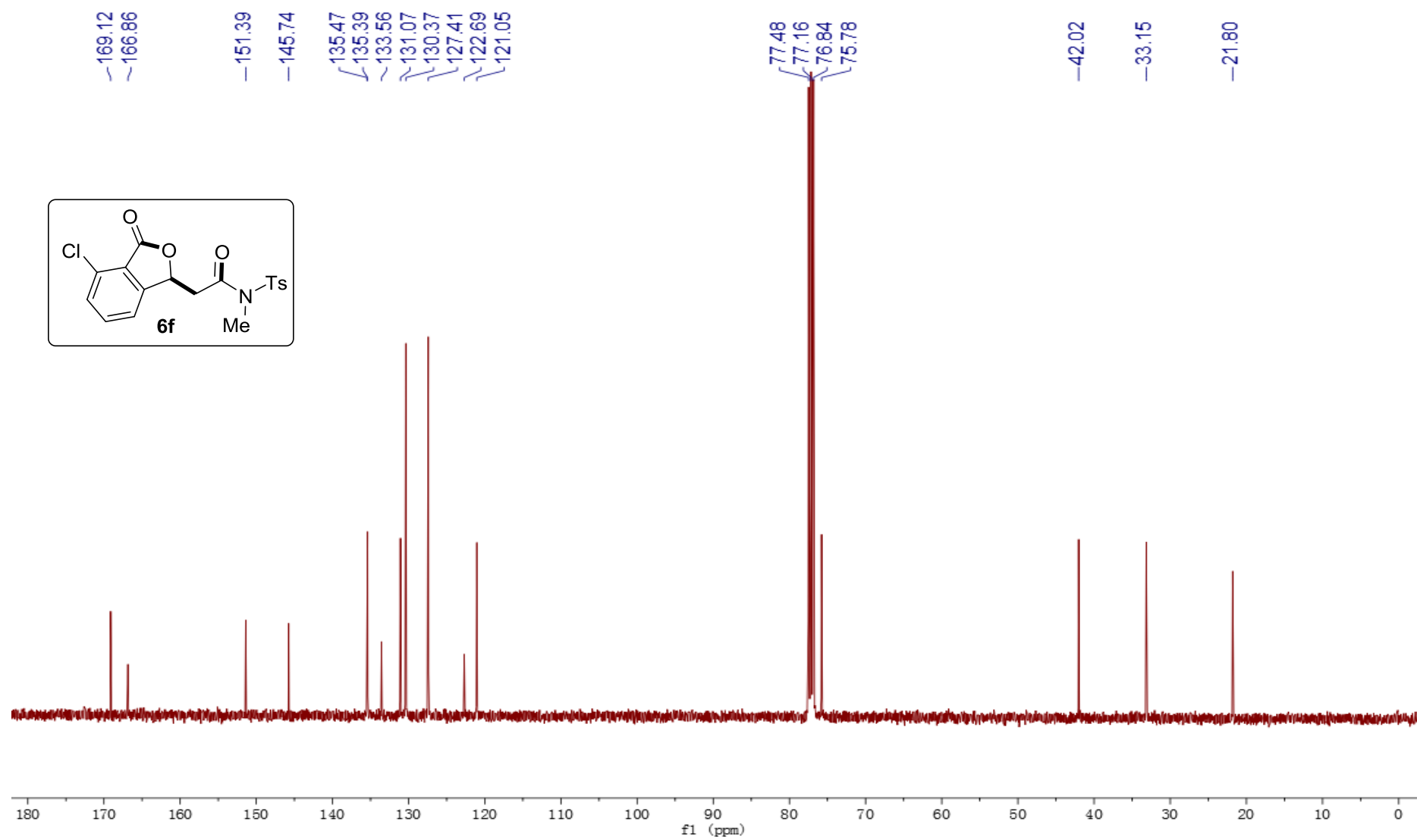




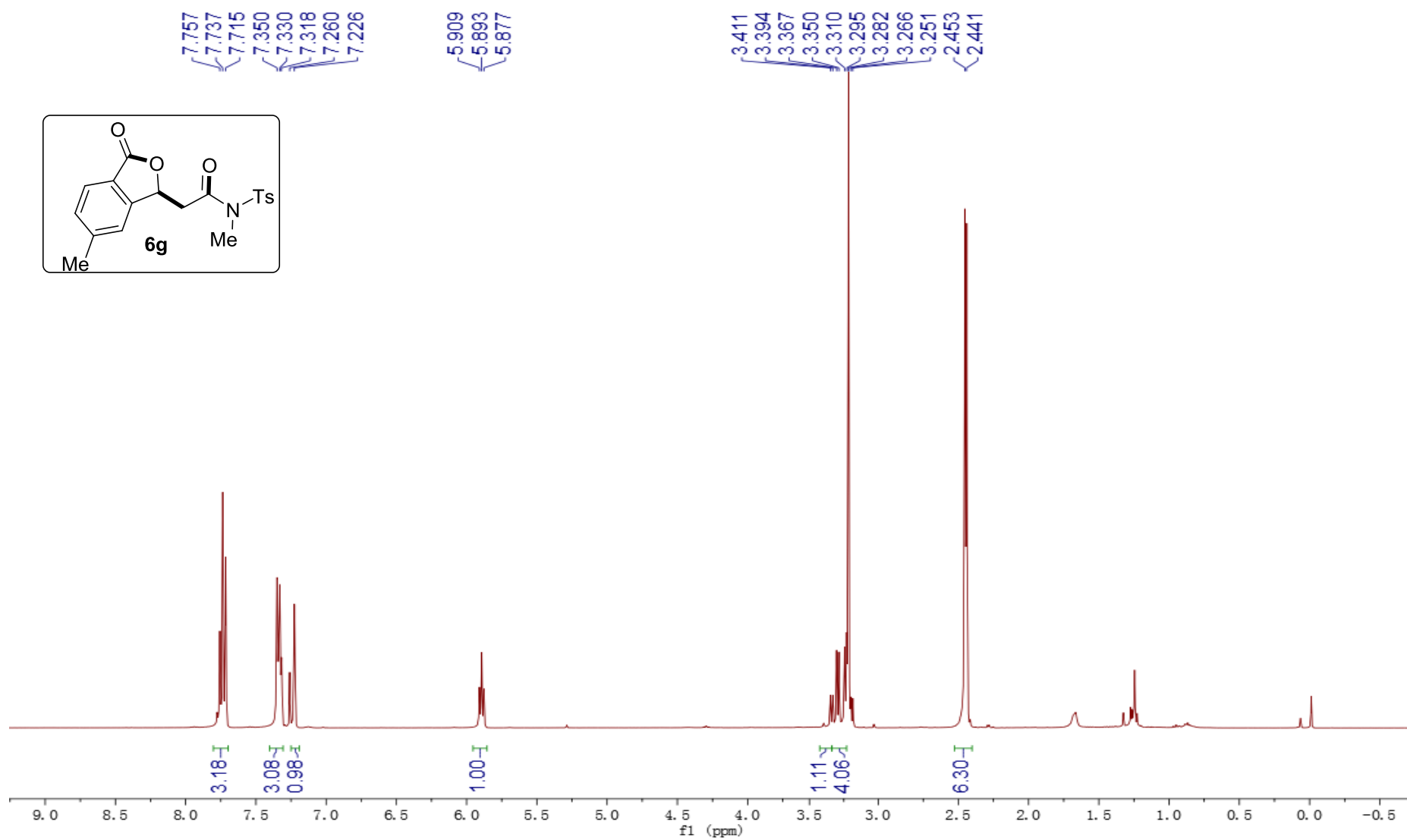
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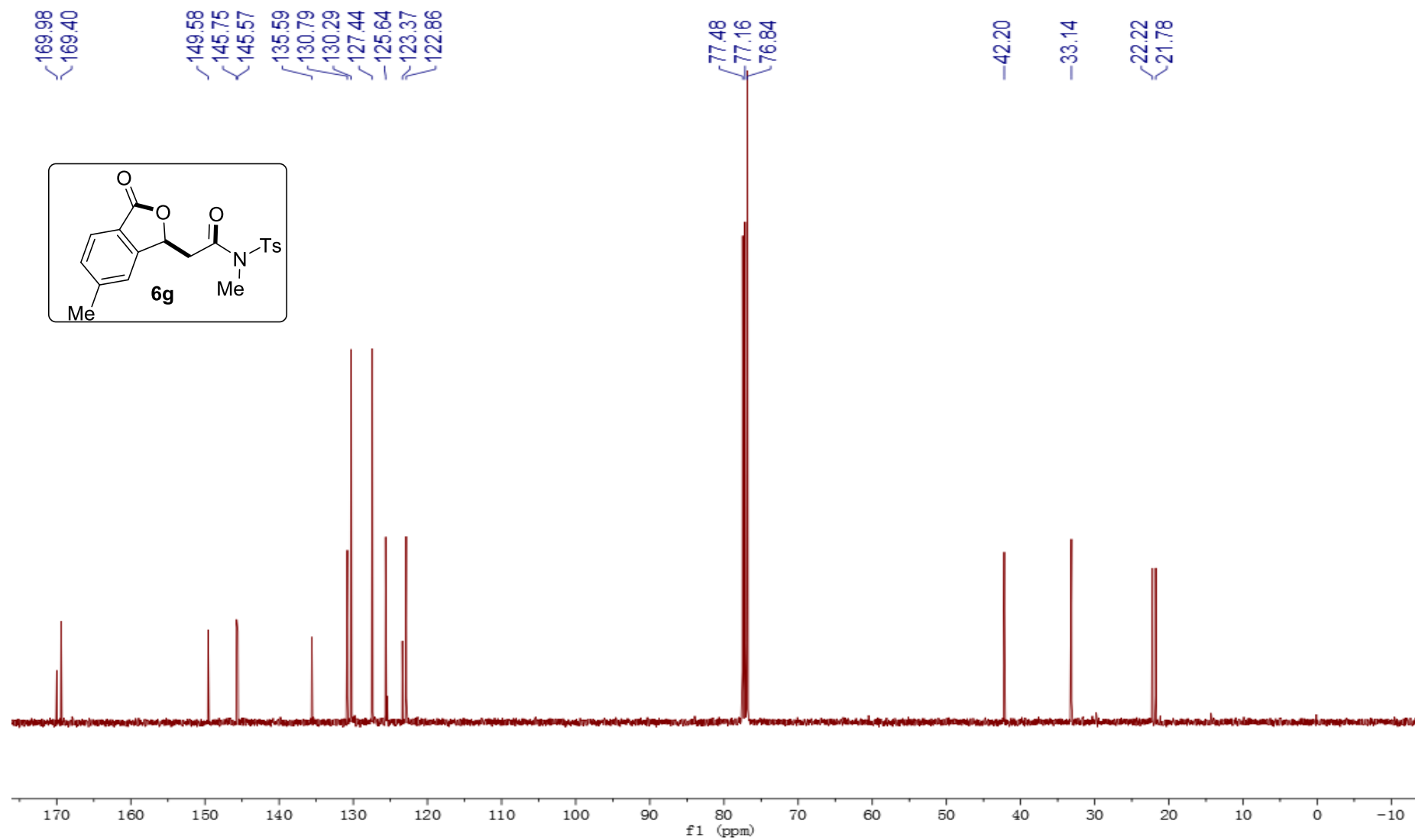


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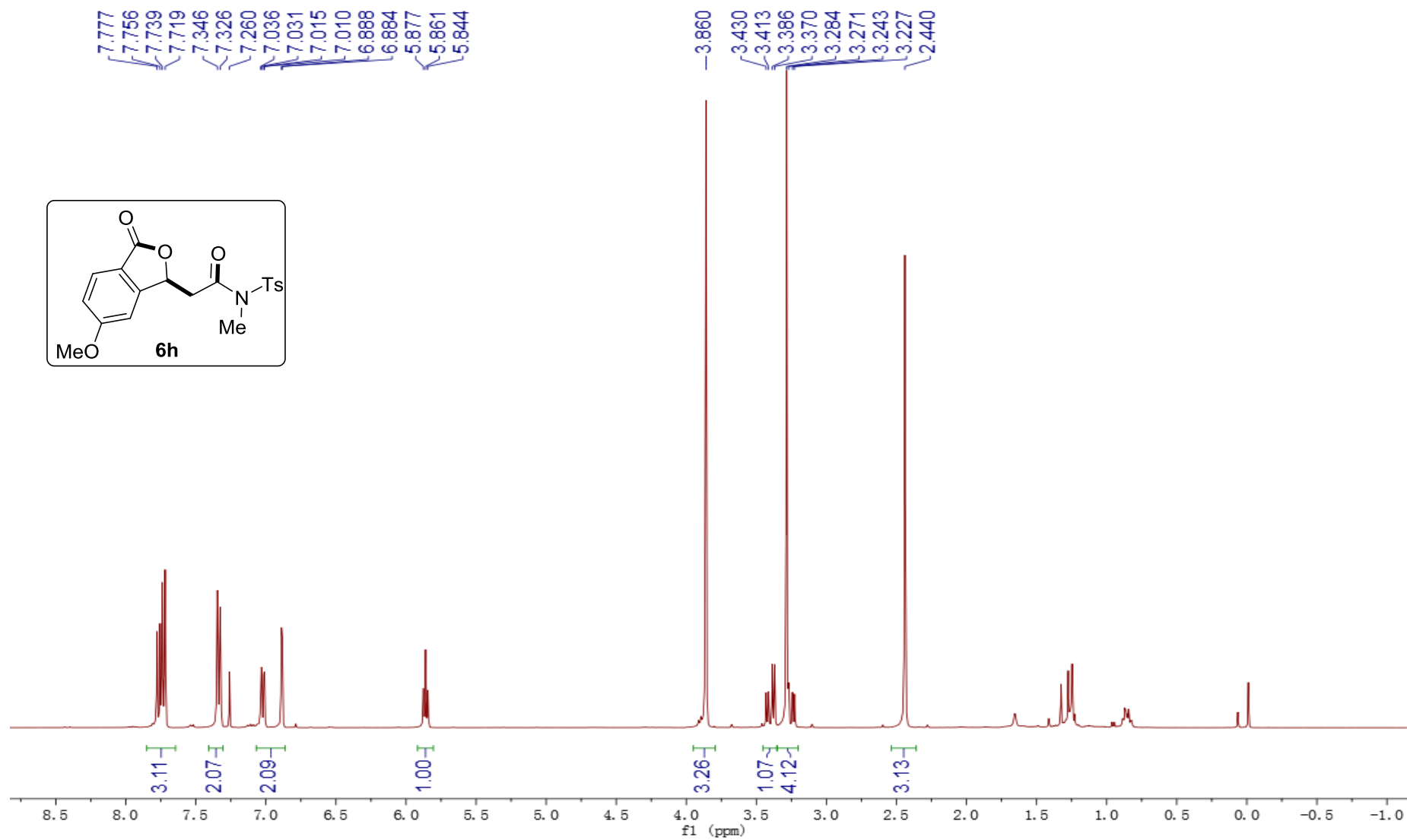


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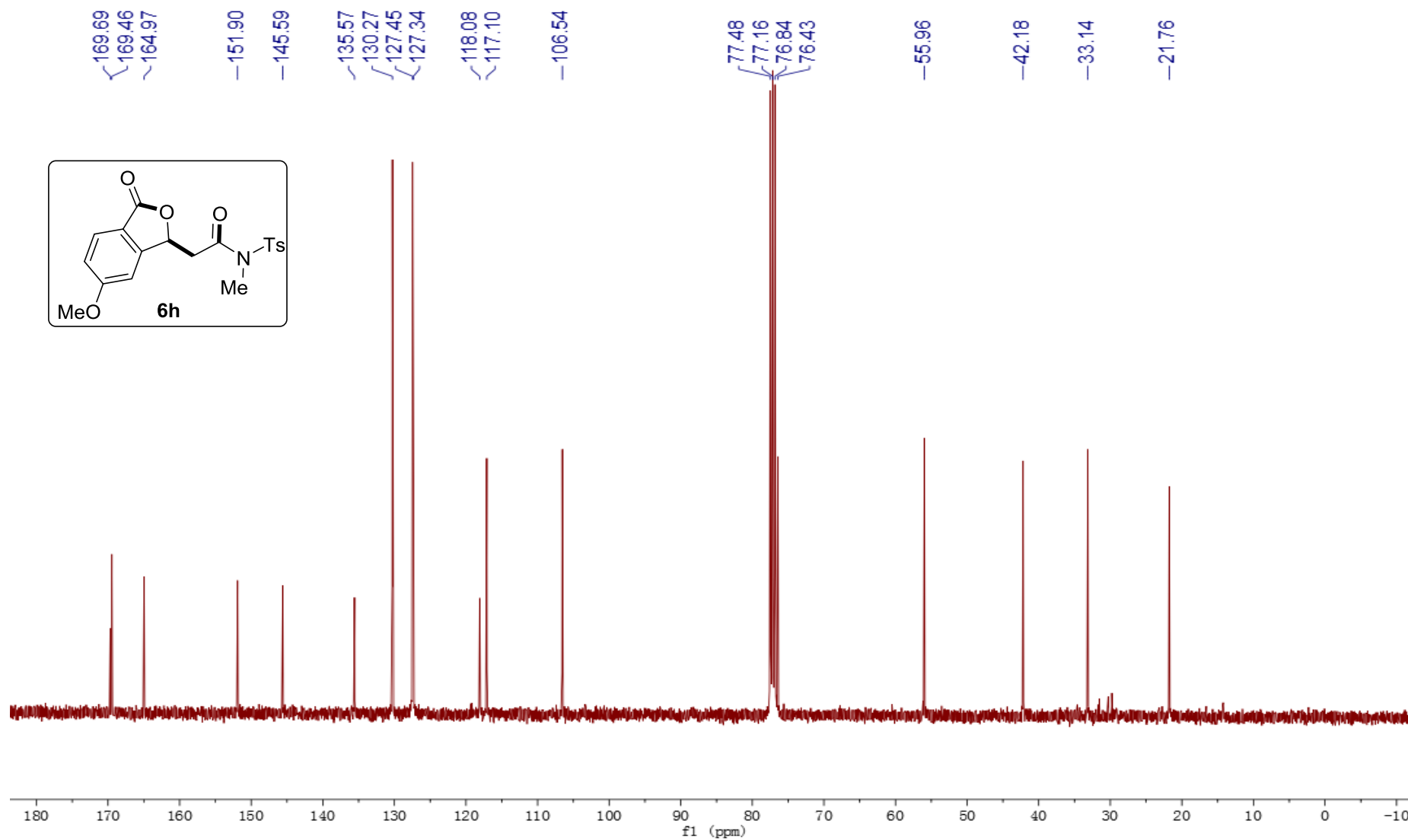




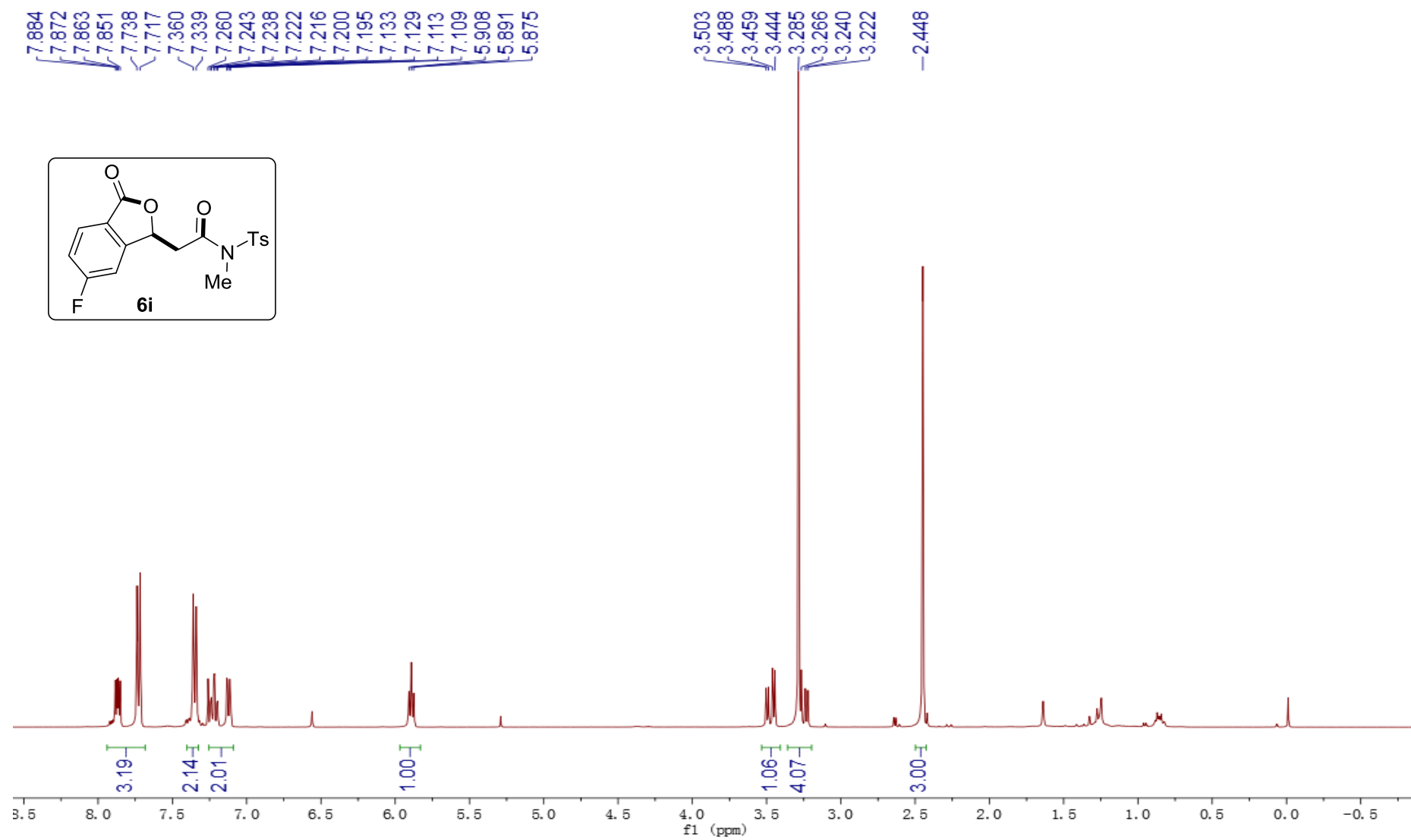
S113



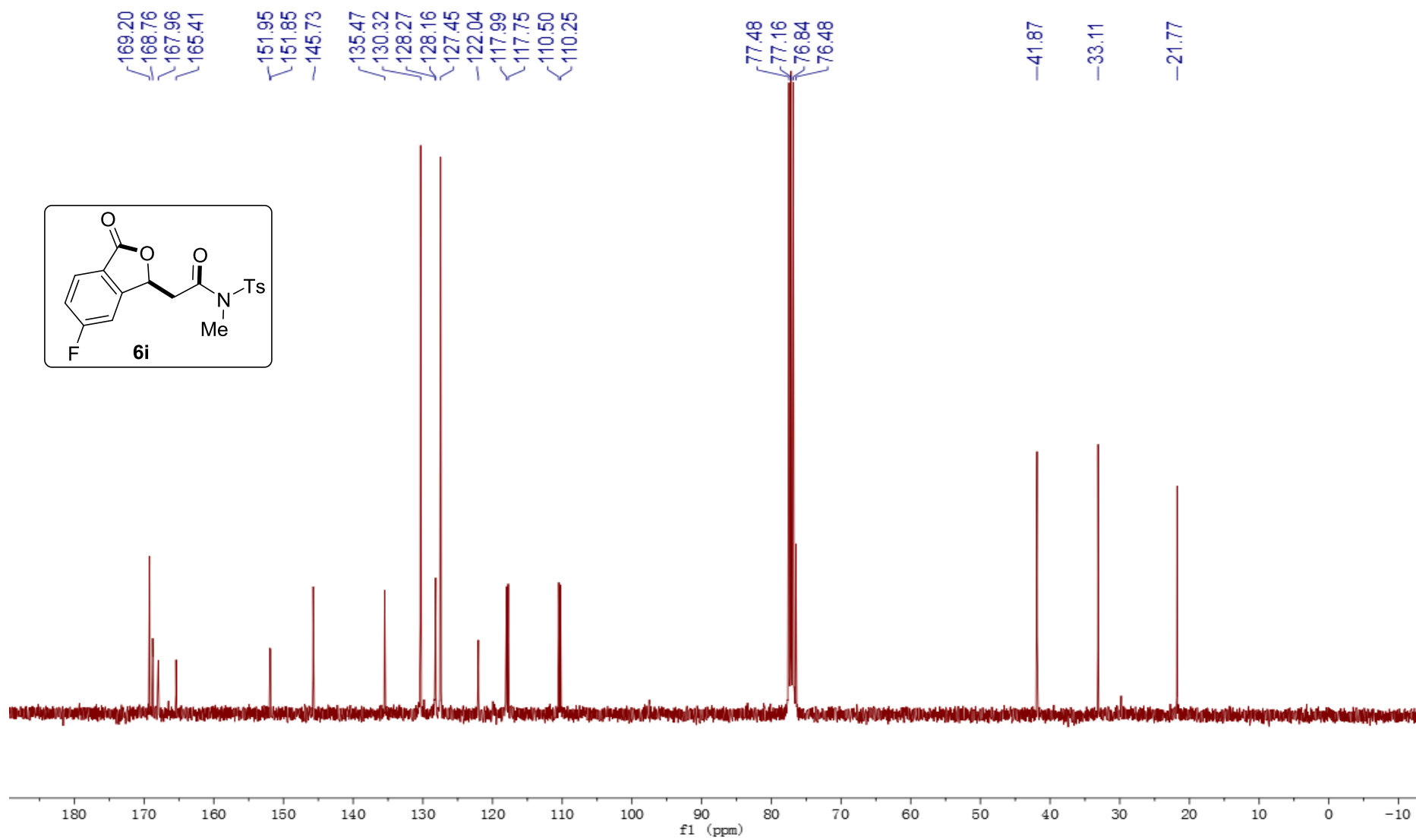
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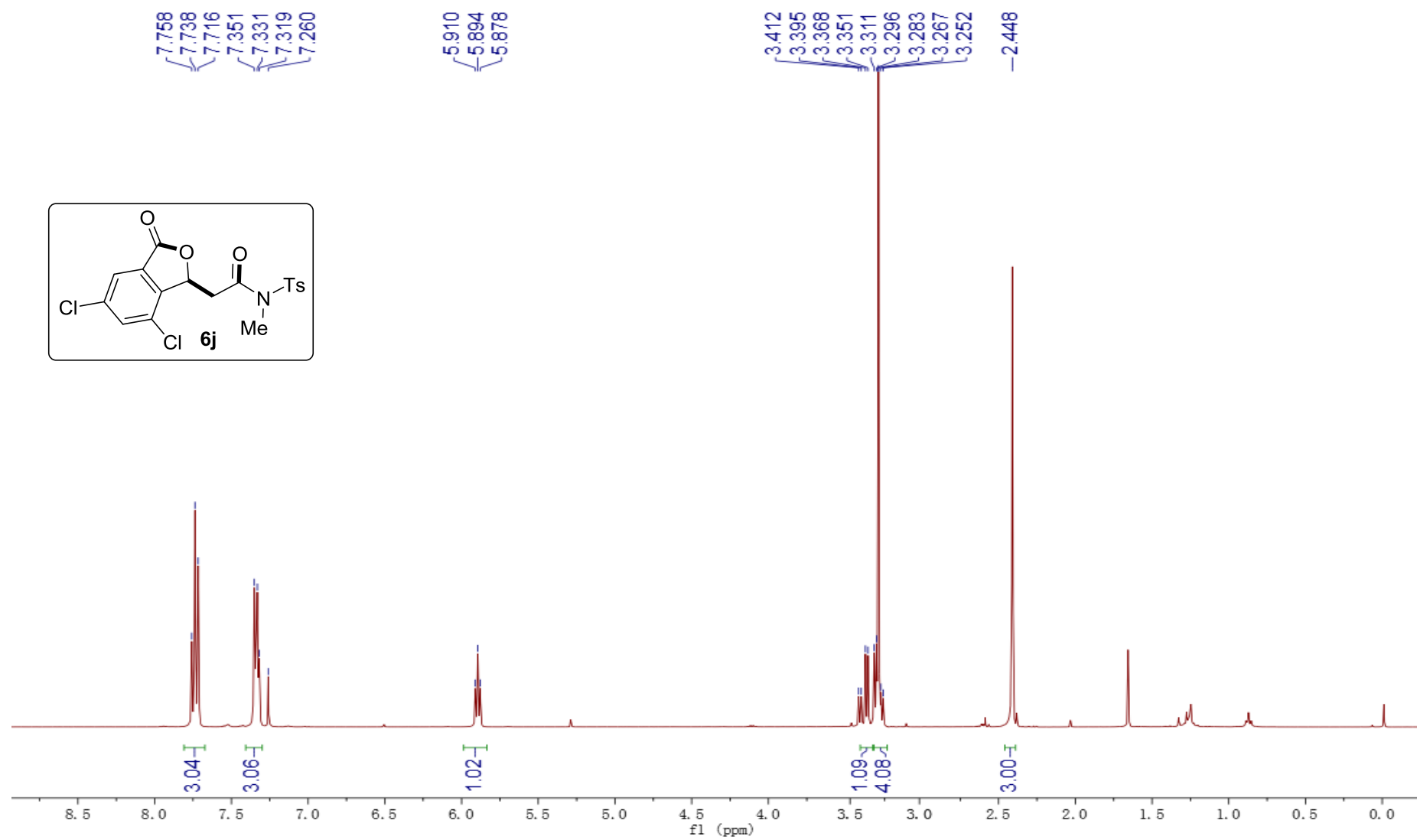
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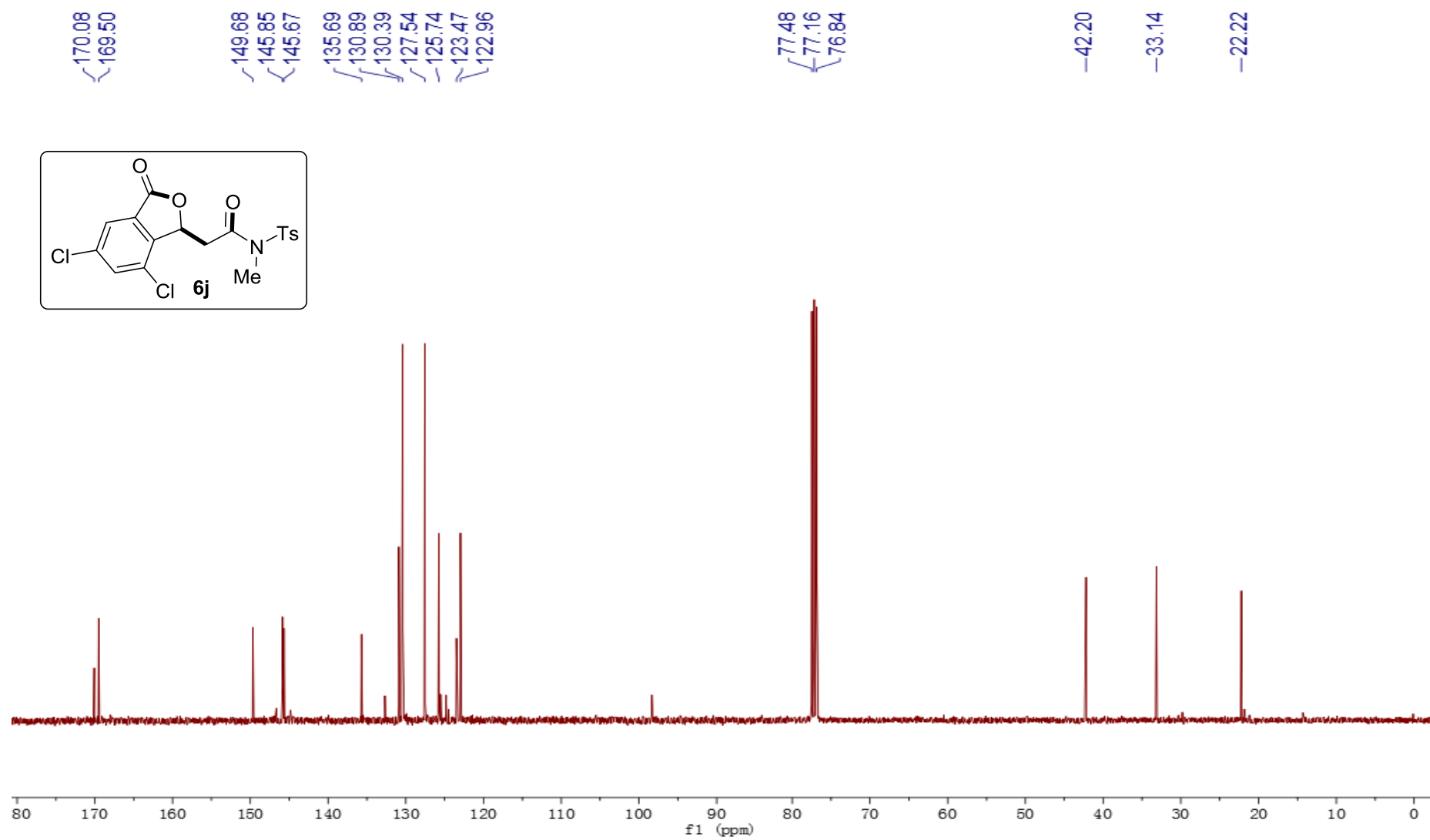
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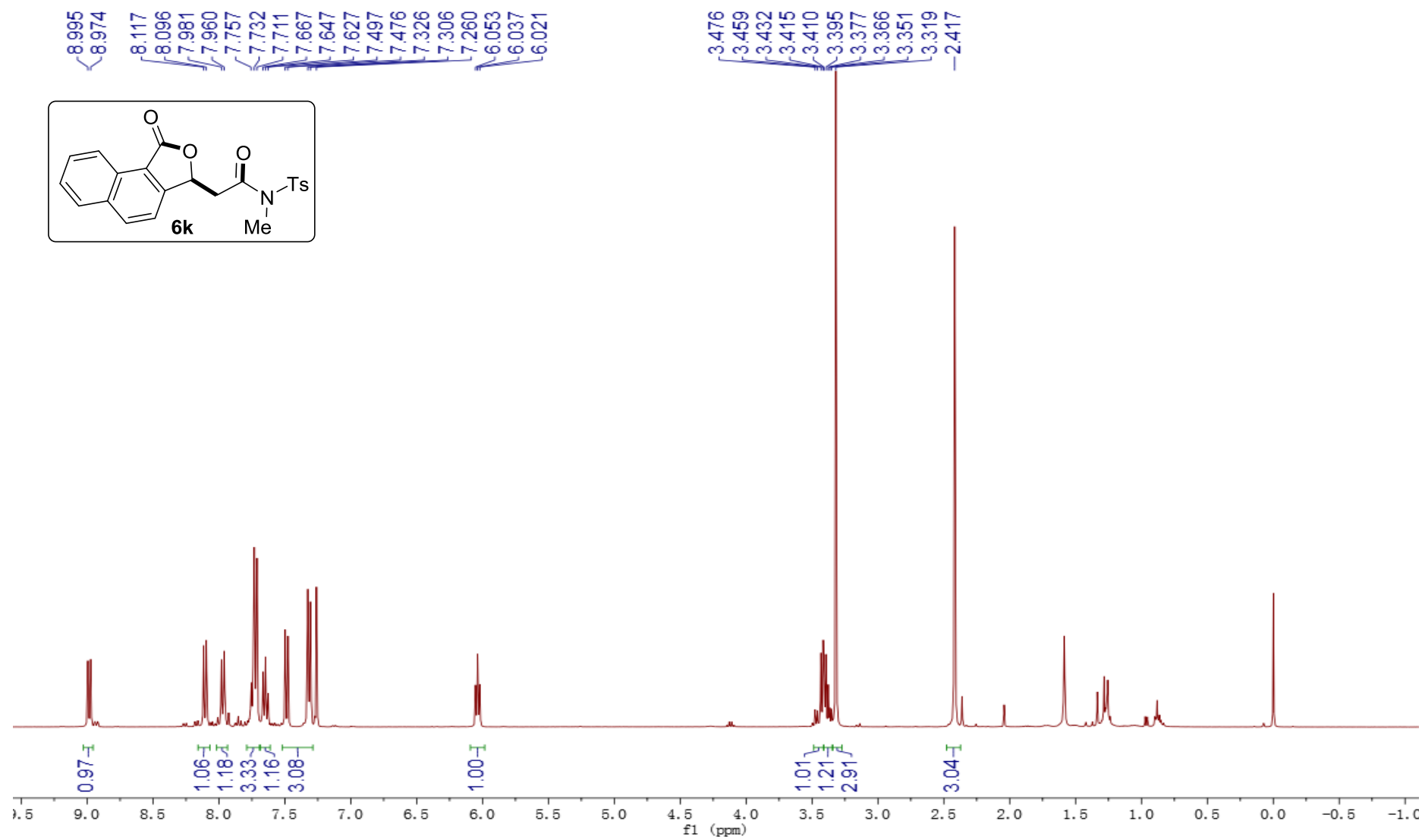
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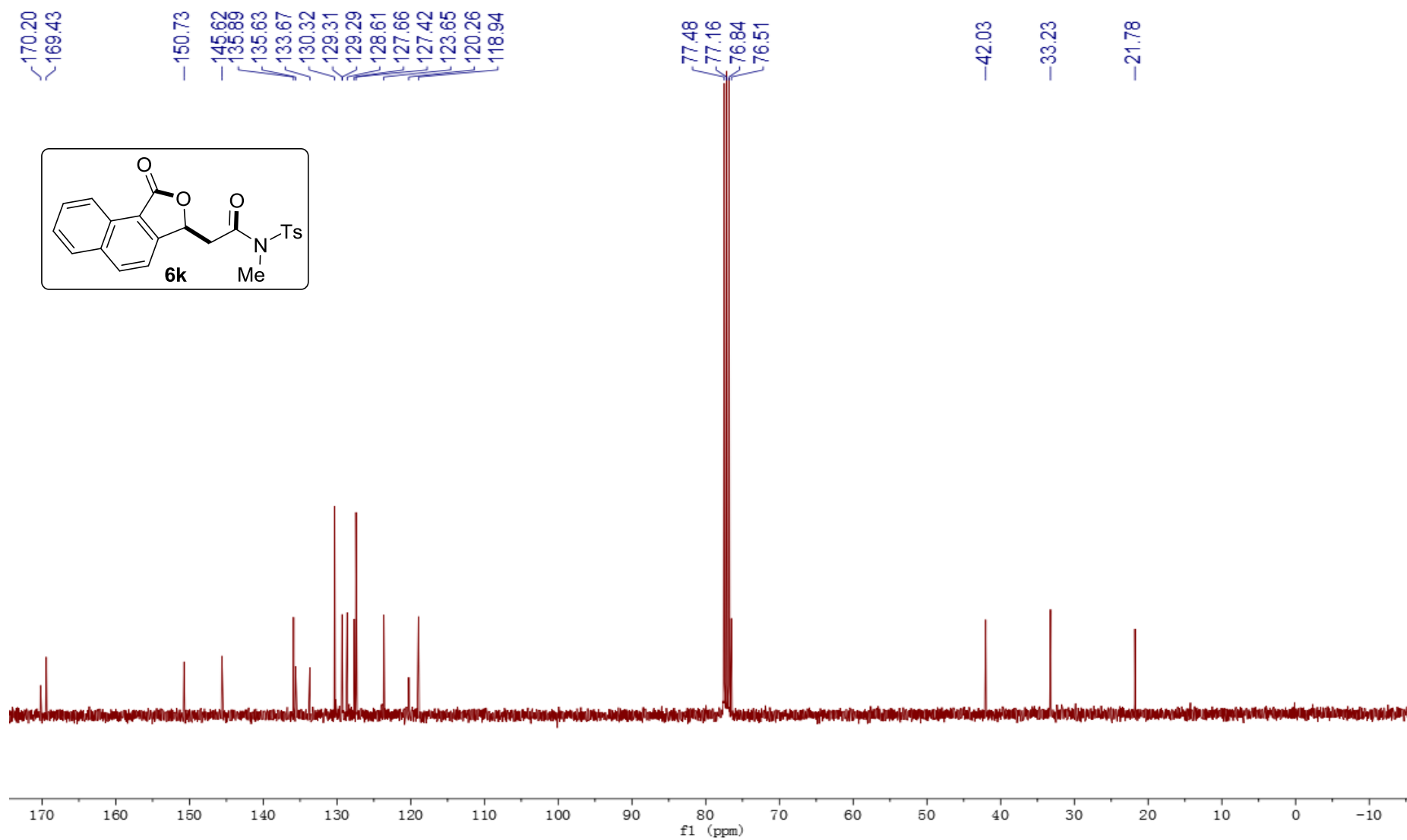
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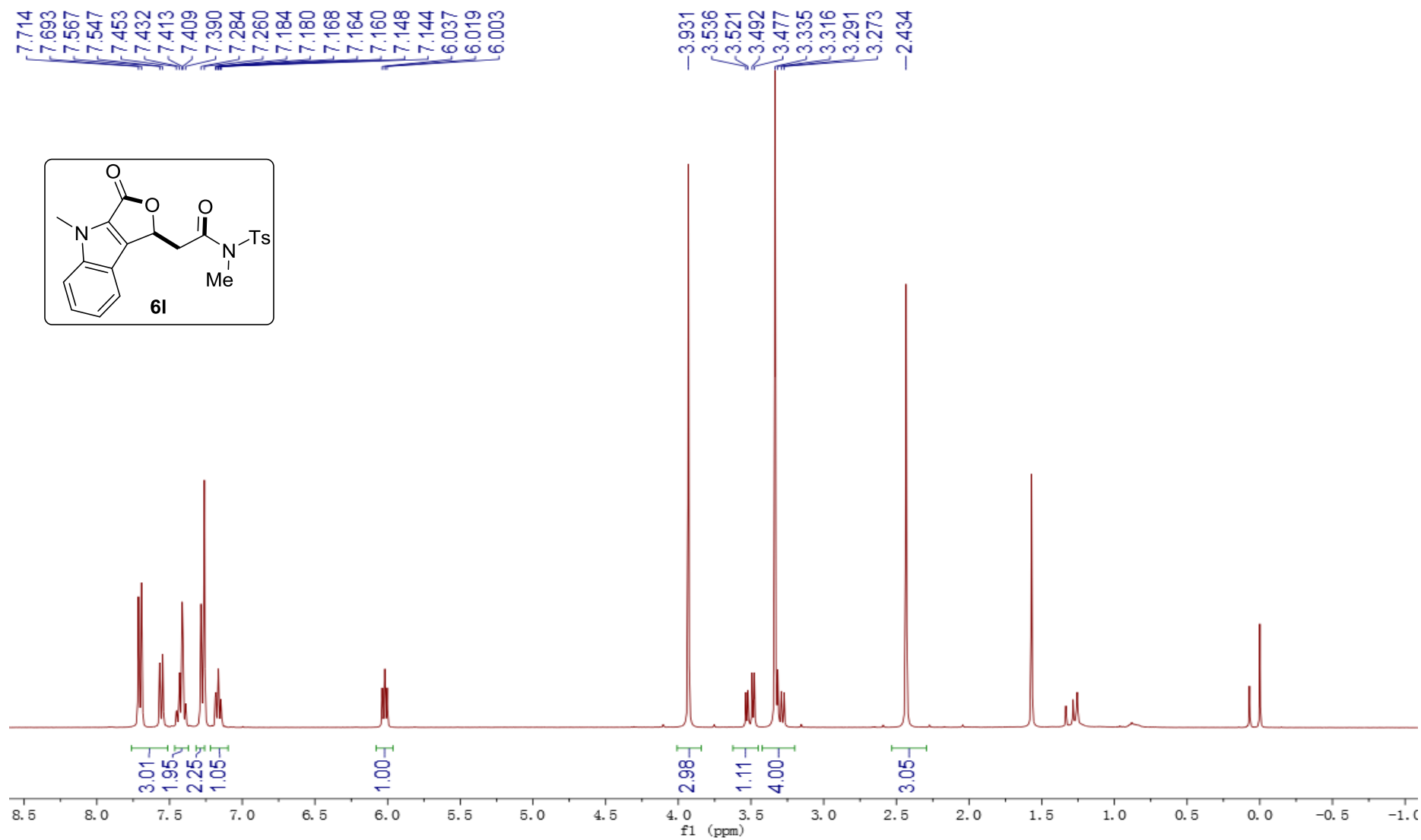
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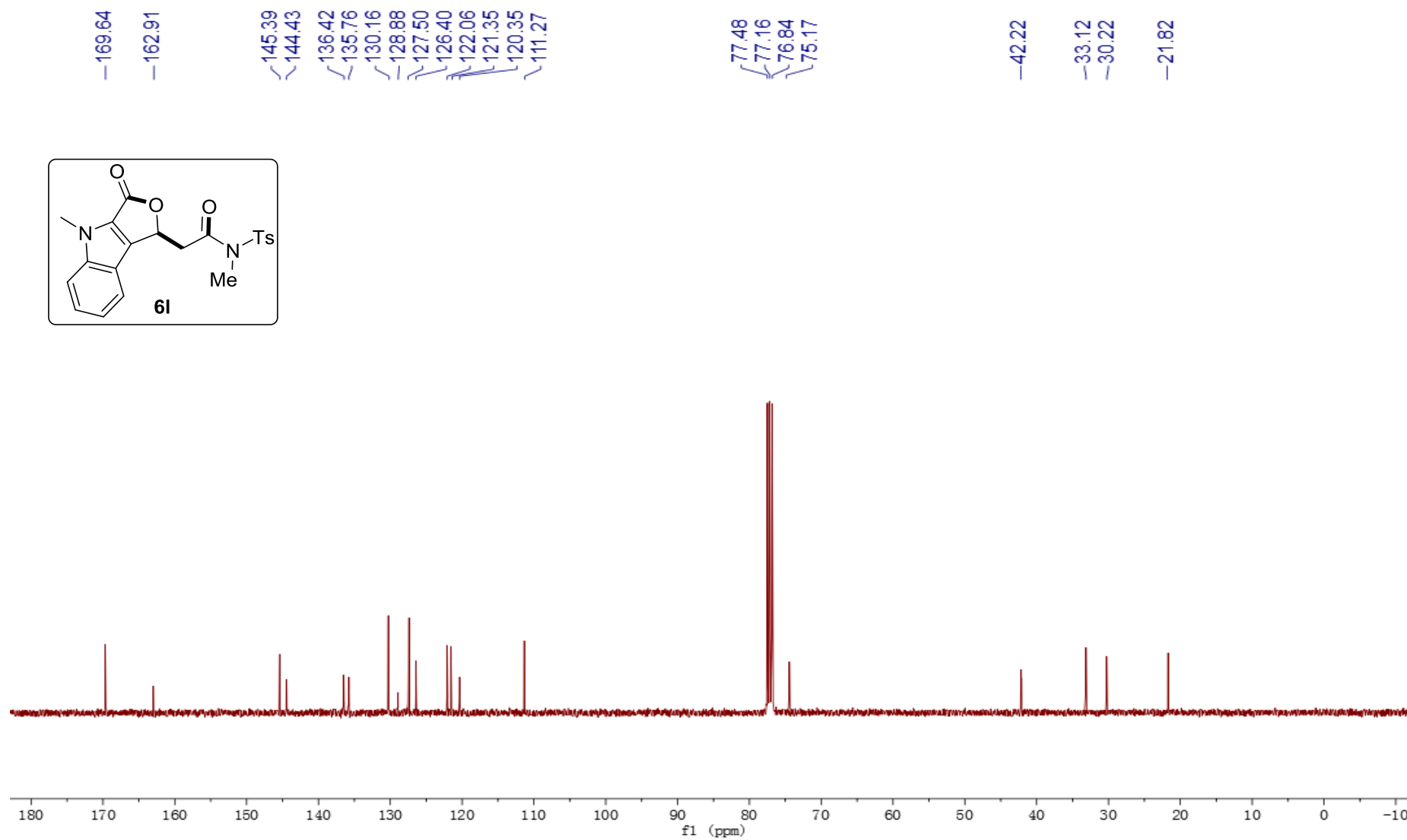
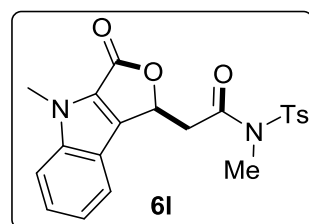


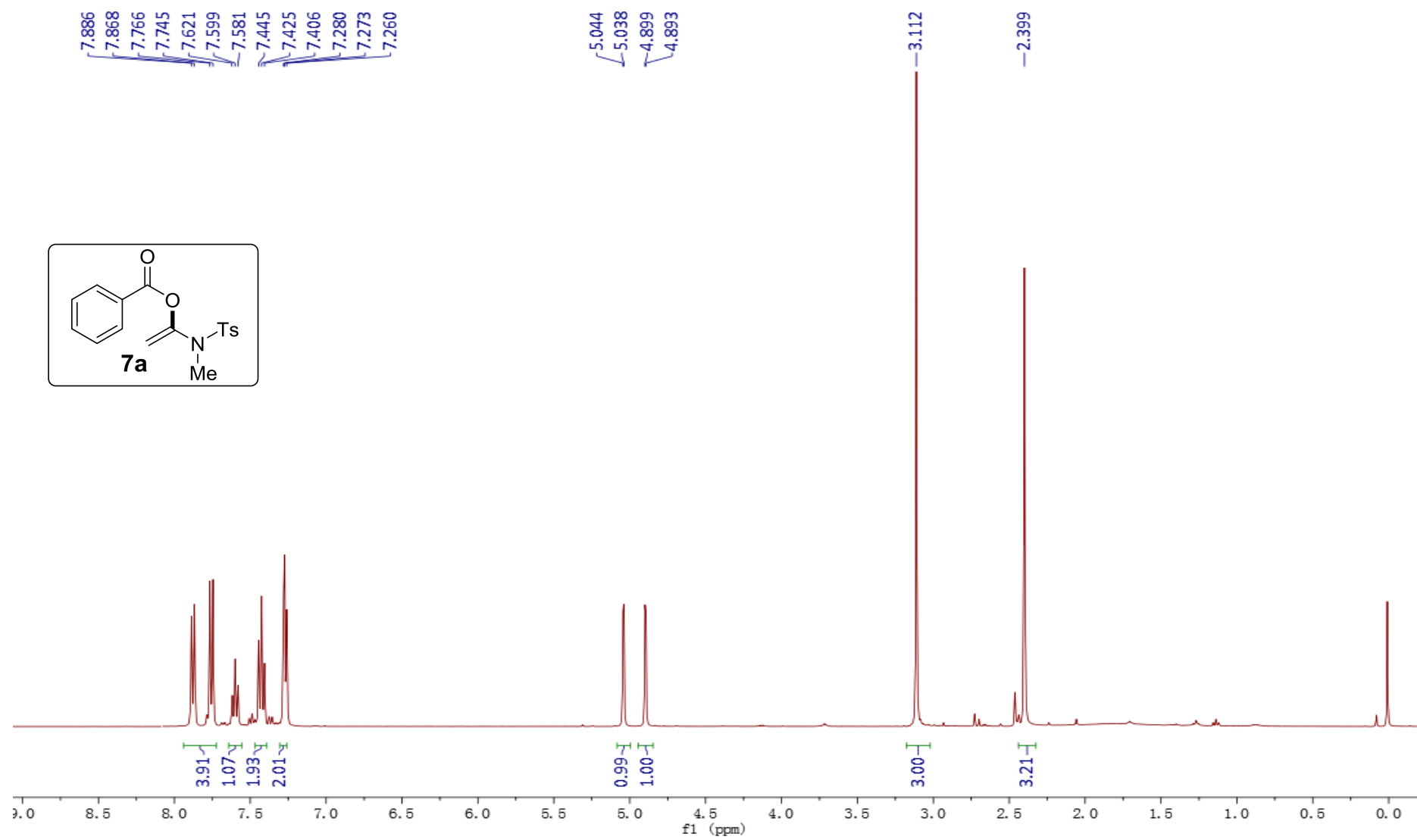
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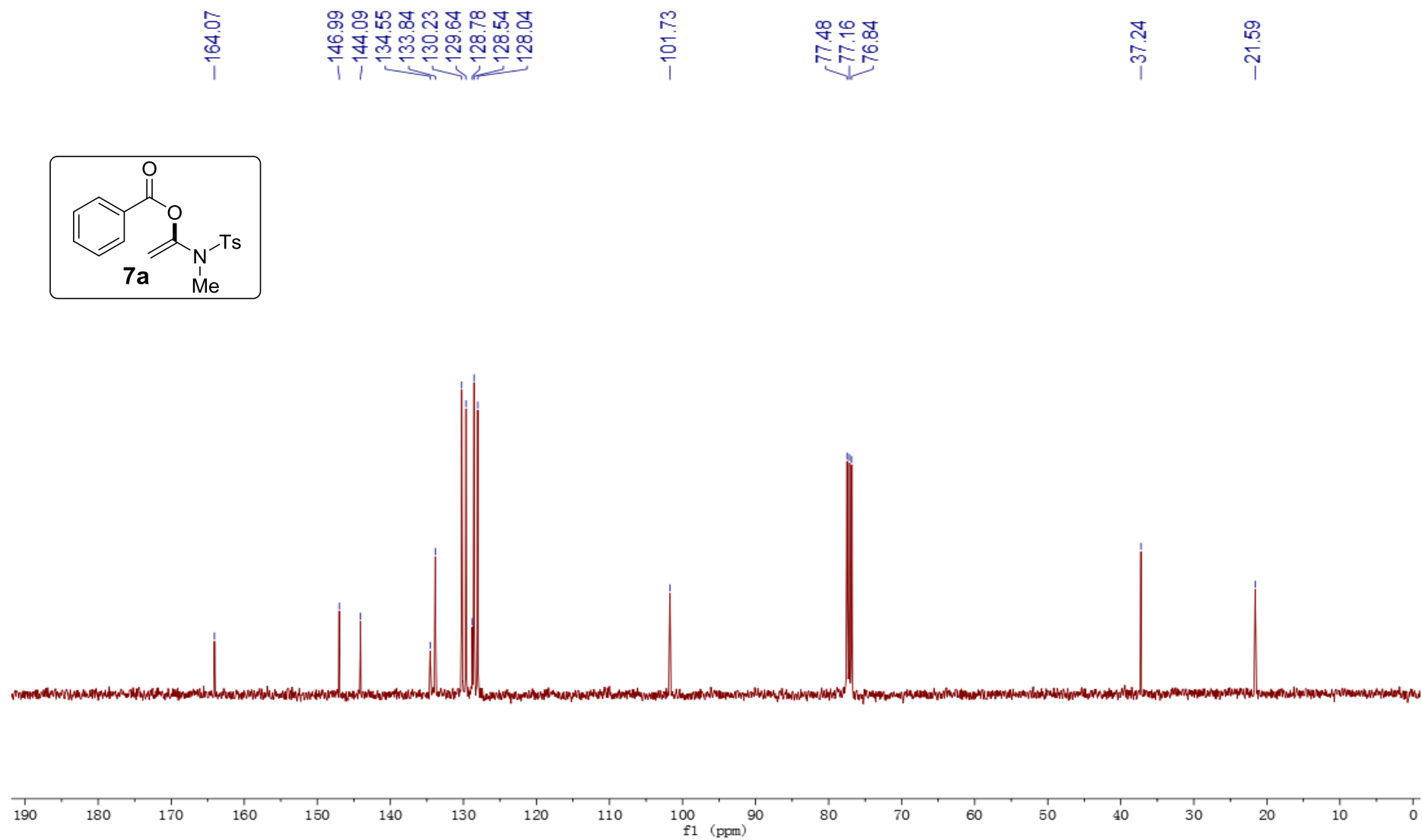
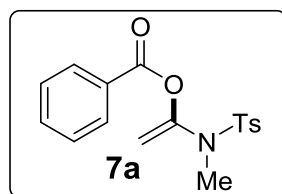


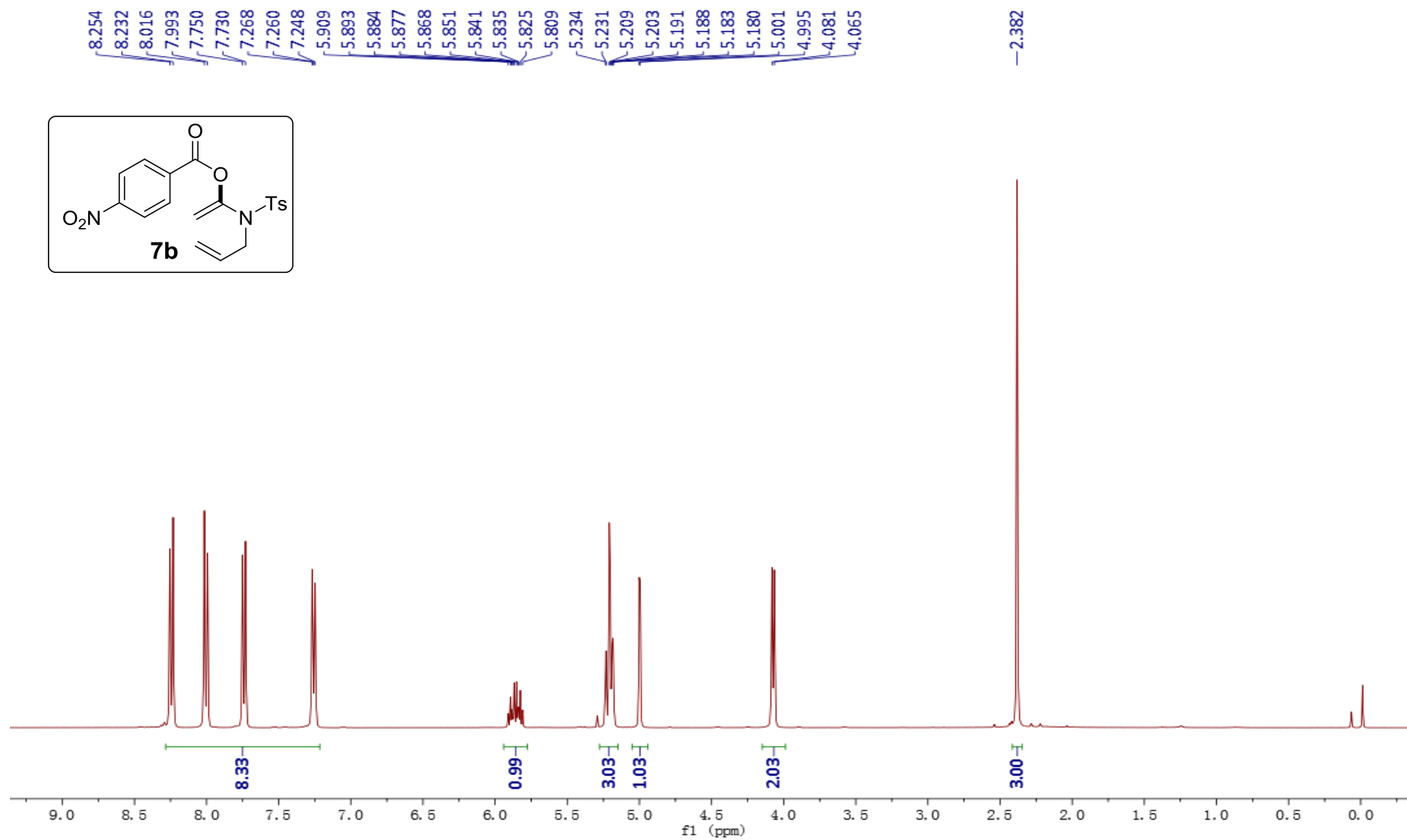
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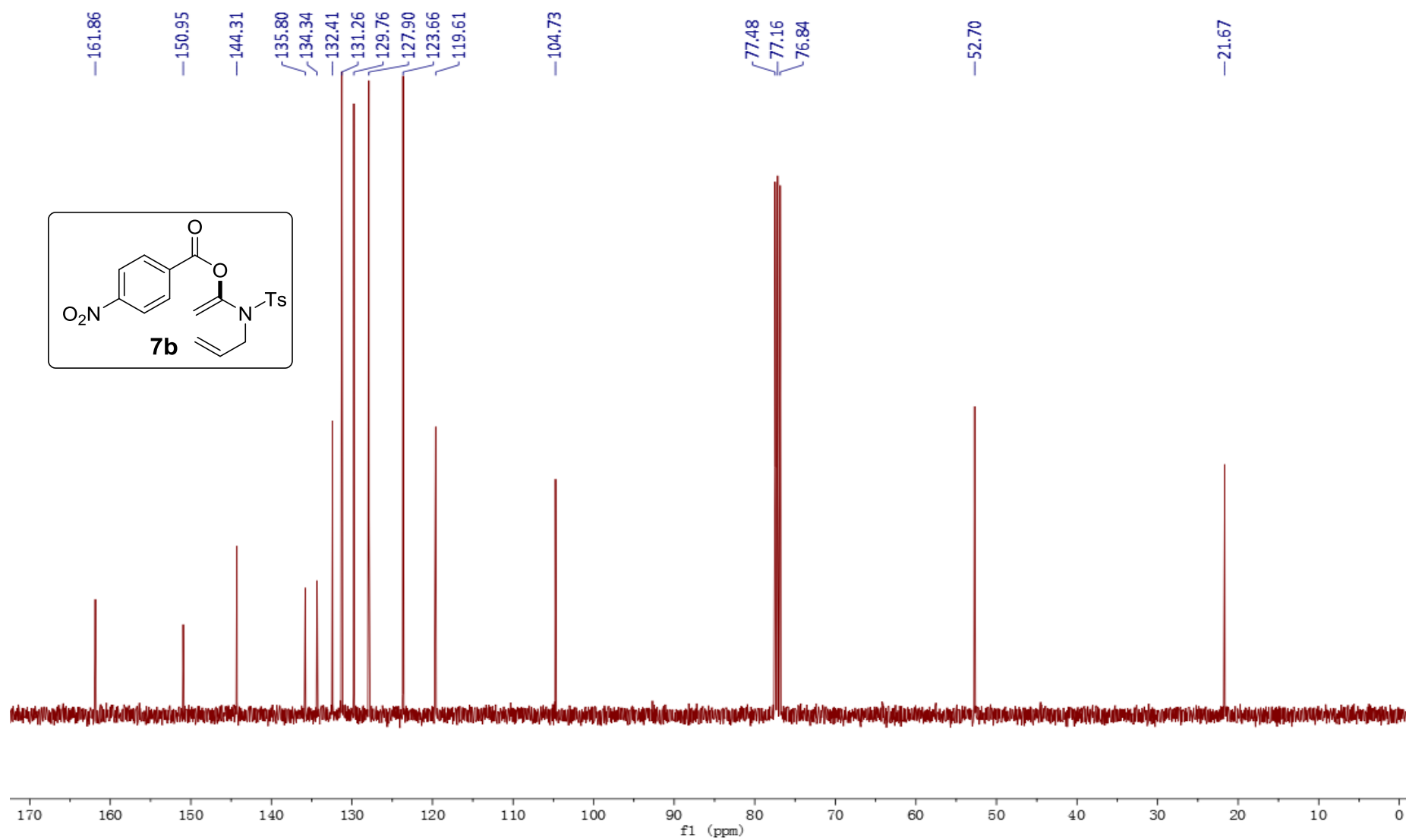












S127