

Supporting Information for:

**Copper-Catalyzed Asymmetric 1,2-Arylboration of Enamines: Access to
Borate-Containing 3,3'-Disubstituted Isoindolinones**

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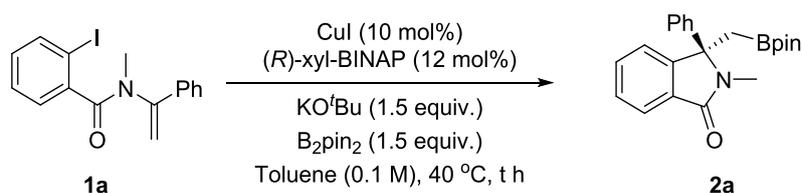
1. General information

Unless otherwise noted, all reactions were carried out under N₂ atmosphere in sealed tube with magnetic stirring. All reagents were purchased from commercial suppliers with the highest purity grade, and used directly without further purification. ¹H NMR, ¹³C NMR and ¹⁹F NMR spectra were recorded on Bruker AVANCE III 400 MHz, 500 MHz, or 600 MHz using CDCl₃ as solvent with TMS as internal standard. Melting points were measured on a Büchi Melting Point B-545 apparatus and uncorrected. HRMS were recorded on Thermo Scientific LTQ Orbitrap XL or Agilent 6210 TOF LC/MS mass spectrometer. GC analyses were performed using Agilent 7820A Gas Chromatograph System. Optical rotations were determined using a Rudolph Autopol IV polarimeter. HPLC analyses were performed using Agilent 1260 chromatography. Chiralpak AD-H, OD-H, OJ-H and AS-H columns were purchased from Daicel Chemical Industries, LTD. Cellulose-1, Cellulose-2 and Amylose-2 columns were purchased from Phenomenex. Solvents were purified prior to use according to conventional procedures. Reactions were monitored by thin layer chromatography (TLC) using silica gel plates. For reactions that require heating, a heating mantle was used as the heat source. Column chromatography was carried out using silica gel (200-300 mesh).

2. Chromatographic conditions for GC analyses

Each sample was analyzed by Agilent 7820A Gas Chromatograph System. The operational conditions were as follows: air flow rate of 400 mL/min; hydrogen flow rate of 30 mL/min; nitrogen flow rate of 25 mL/min; injector temperature at 300 °C; split ratio of 7.6923:1, split flow rate of 50 mL/min; injection volume of 1 μL; column oven temperature initially held at 50 °C for 2 min, raised from 50 °C to 300 °C at the rate of 20 °C/min and maintained for 2 min. The retention time of the benzophenone was 6.787 min; the retention time of **1a** was 9.106 min; the retention time of **2a** was 9.644 min.

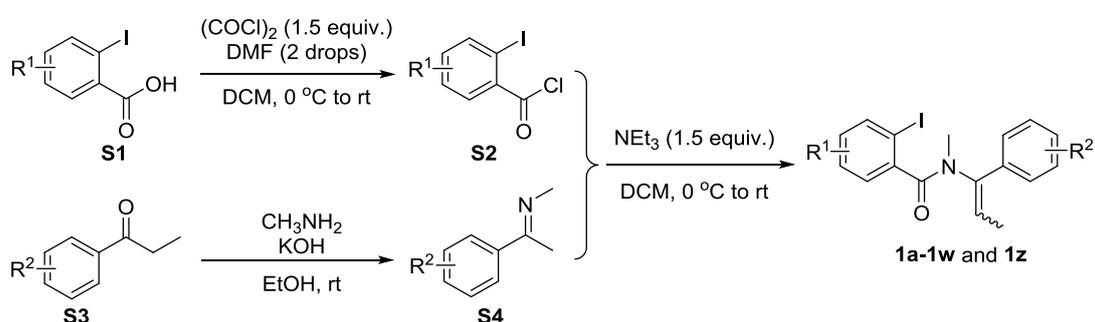
3. Table S1 Time-controlling experiments



Entry	t	Conv. (%)	Yield (%)	Ee (%)
1	6	86	64(52)	95
2	8	90	77	95
3	10	95	89(83)	95
4	12	97	73	95
5	16	98	69	95
6	24	99	43(29)	95

4. Synthesis of enamine substrates

4.1 General procedure for the preparation of enamine **1a-1w** and **1z**^[1]:



Synthesis of **S2**:

To a 100 mL oven-dried flask equipped with a stir bar was added 2-iodobenzic acid **S1** (1.0 equiv.) and solvent DCM (0.5 M) with 2 drops of DMF. Then the flask was stirred at an ice-water bath. Oxalyl chloride (1.5 equiv.) was slowly added to the solution. The mixture was allowed to warm to room temperature and stirred overnight. After the reaction was completed, the solvent was removed under reduced pressure. The obtained product **S2** was used for the next step without further purification.

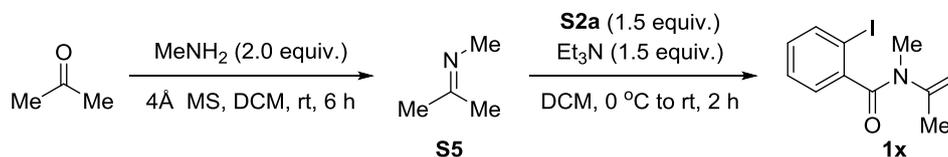
Synthesis of **S4**:

To a 100 mL oven-dried flask equipped with a stir bar was charged with CH_3NH_2 (8 M in EtOH, 4.0 equiv.), ketone **S3** (1.0 equiv.), and KOH (50 mg/mmol) and stirred overnight at room temperature. After the reaction was completed, the mixture was extracted with DCM (3 times) and the combined organic phases were dried over anhydrous Na_2SO_4 . Solid were filtered and the filtrate were concentrated under reduced pressure to give **S4**.

Synthesis of **1a-1w** and **1z**:

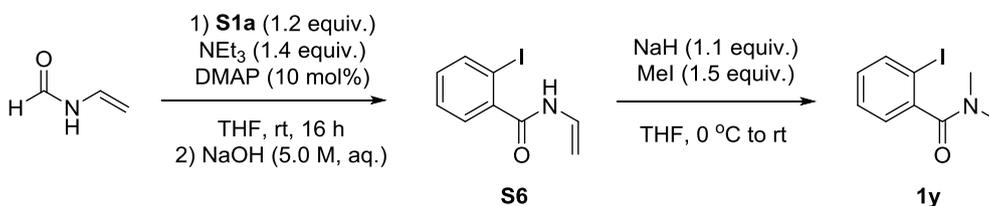
To a 100 mL oven-dried flask was charged with **S4**, NEt₃ (1.5 equiv.), and anhydrous DCM. The flask was put in an ice-water bath and stirred at 0 °C. Then **S2** (1.2 equiv.) was added into the mixture slowly. The resulting mixture was allowed to warm to room temperature and stirred overnight. After the reaction was completed, the mixture was extracted with DCM (3 times) and the combined organic phases were dried over anhydrous Na₂SO₄ and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel, eluting with ethyl acetate/petroleum ether to give compounds **1a-1w** and **1z**.

4.2 General procedure for preparation of enamine **1x**:



To a 100 mL oven-dried flask equipped with a stir bar was charged with anhydrous DCM, 0.4 mL acetone, CH₃NH₂ (8.0 M in EtOH, 4.0 equiv.), and 4Å MS (2.5 g) activated with a heat gun for half an hour under nitrogen atmosphere. The resulting mixture was stirred at room temperature. After 6 hours, Et₃N (5.5 mmol, 1.1 equiv.) was added to the system. Then 2-iodobenzoyl chloride was slowly added under an ice-water bath, and the reaction was stirred at room temperature for another 2 hours. After the reaction was completed, the solvent was removed under reduced pressure to obtain the crude product, which was purified by column chromatography to yield the substrates **1x** (PE/EA v/v = 10:1).

4.3 General procedure for the preparation of enamine **1y**^[2]:



Synthesis of **S6**^[3]:

N-vinyl formamide (5.0 mmol, 1.0 equiv.), Et₃N (6.0 mmol, 1.2 equiv.), DMAP (5.0 mol%, 30.1 mg) and anhydrous THF (30 mL) were added to a three-necked round-

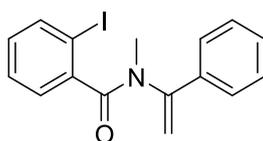
bottomed flask equipped with an addition funnel under nitrogen balloon. The mixture was cooled to 0 °C in an ice-water bath. Freshly distilled 2-iodobenzoyl chloride (5.75 mmol, 1.15 equiv.) was added into the addition funnel and slowly added into the reaction mixture at a rate keeping the temperature below 5 °C over 1 h. After the dropping was finished, the reaction was allowed to stir for additional 16 hours. A solution of 5 N NaOH (15 mmol/3 mL H₂O) was then slowly added at 0-5 °C over 2 hours. Then the mixture was extracted with EA (3 times) and the combined organic phases were washed with saturated NaCl aqueous solution, dried over anhydrous Na₂SO₄, and concentrated under reduced pressure using rotary evaporation to give the crude product, which was purified by flash chromatography on silica gel with petroleum ether/ethyl acetate (PE: EA= 10:1 - 3:1) to give a white solid **S6**. The solid was further dried in a vacuum oven.

Synthesis of **1y**:

To a 100 mL oven-dried flask equipped with a stirring bar was charged with **S6** and anhydrous THF under nitrogen atmosphere. The flask was put in an ice-water bath and stirred at 0 °C. Then NaH (1.1 equiv.) was added into the mixture slowly and the resulting mixture was allowed to warm to room temperature and stirred for 1 hour. The mixture was cooled to 0 °C in an ice-water bath again and MeI (1.5 equiv.) was added dropwise. After stirring at room temperature for 2 hours, the reaction mixture was quenched with water. The solution was extracted with DCM (3 times) and the combined organic phases were dried over anhydrous Na₂SO₄ and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel, eluting with ethyl acetate/petroleum ether to give compound **1y** (PE: EA = 20:1).

Characterization data of compounds **1a-1z**:

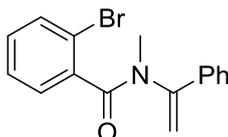
2-Iodo-N-methyl-N-(1-phenylvinyl)benzamide (1a):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 110-111 °C; 40% yield, 8.3:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 7.86 (d, *J* = 8.4 Hz, 0.11H), 7.71 (d, *J* = 8.4 Hz, 0.90H), 7.58-7.57 (m, 0.27H), 7.46-7.42 (m, 0.27H), 7.30

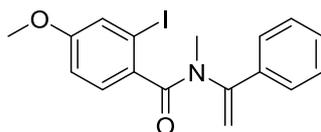
(s, 4.48H), 7.17-7.09 (m, 0.32H), 7.03-7.01 (m, 0.88H), 6.96-6.95 (m, 0.90H), 6.89-6.86 (m, 0.89H), 5.79 (s, 0.11H), 5.54 (s, 0.11H), 5.31 (s, 0.89H), 5.30 (s, 0.89H), 3.35 (s, 2.68H), 2.98 (s, 0.33H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.5, 148.0, 142.2, 139.1, 136.4, 130.2, 129.7, 128.7, 128.6, 128.5, 127.2, 127.0, 126.7, 125.8, 112.7, 112.3, 94.3, 38.8, 36.3. HRMS m/z (ESI+): Calculated for $\text{C}_{16}\text{H}_{15}\text{INO}^+$ ($[\text{M}+\text{H}]^+$): 364.0193, found 364.0188.

2-Bromo-N-methyl-N-(1-phenylvinyl)benzamide (1a'):



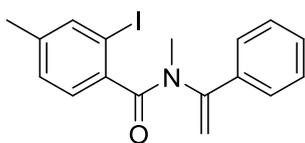
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 94-95 °C; 52% yield, 9.1:1 ratio of isomers (for the last step); ^1H NMR (400 MHz, CDCl_3): δ 7.45-7.37 (m, 1.60H), 7.34-7.28 (m, 4.34H), 7.08-7.00 (m, 2.61H), 5.80 (s, 0.10H), 5.49 (s, 0.10H), 5.31 (s, 0.90H), 5.27 (s, 0.90H), 3.34 (s, 2.70H), 3.01 (s, 0.30H). ^{13}C NMR (100 MHz, CDCl_3): δ 169.3, 147.9, 138.4, 136.2, 132.9, 132.6, 130.4, 129.8, 128.8, 128.6, 127.1, 126.6, 125.8, 120.3, 112.7, 112.0, 36.0. HRMS (ESI+) m/z : calculated for $\text{C}_{16}\text{H}_{15}\text{BrNO}^+$ ($[\text{M}+\text{H}]^+$): 316.0332, found 316.0329.

2-Iodo-4-methoxy-N-methyl-N-(1-phenylvinyl)benzamide (1b):



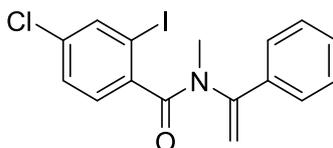
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil; 40% yield, 11.5:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.54-7.41 (m, 0.31H), 7.36-7.29 (m, 4.89H), 7.23 (s, 0.92H), 6.95 (s, 0.07H), 6.88 (d, $J = 8.4$ Hz, 0.90H), 6.54 (d, $J = 8.4$ Hz, 0.91H), 5.76 (s, 0.08H), 5.49 (s, 0.08H), 5.30 (s, 0.92H), 5.22 (s, 0.92H), 3.79 (s, 0.24H), 3.67 (s, 2.76H), 3.32 (s, 2.76H), 2.99 (s, 0.24H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.6, 159.4, 148.3, 136.5, 134.5, 128.64, 128.55, 127.5, 125.7, 124.5, 113.0, 112.0, 94.7, 55.3, 36.4. HRMS m/z (ESI+): Calculated for $\text{C}_{17}\text{H}_{17}\text{INO}_2^+$ ($[\text{M}+\text{H}]^+$): 394.0298, found 394.0293.

2-Iodo-N,4-dimethyl-N-(1-phenylvinyl)benzamide (1c):



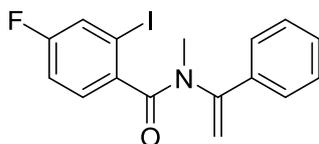
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 85-87 °C; 43% yield, 7.7:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 7.73-7.68 (m, 0.17H), 7.56 (s, 0.88H), 7.42-7.37 (m, 0.58H), 7.31 (s, 4.45H), 7.24 (s, 0.17H), 6.87-6.82 (m, 1.75H), 5.78 (s, 0.12H), 5.52 (s, 0.12H), 5.31 (s, 0.88H), 5.27 (s, 0.88H), 3.32 (s, 2.65H), 2.98 (s, 0.35H), 2.34 (s, 0.35H), 2.19 (s, 2.65H). ¹³C NMR (150 MHz, CDCl₃): δ 170.8, 148.1, 140.0, 139.7, 139.4, 136.4, 128.7, 128.6, 128.0, 126.4, 125.9, 112.3, 94.3, 36.2, 20.6. HRMS *m/z* (ESI⁺): Calculated for C₁₇H₁₇INO⁺ ([M+H]⁺): 378.0349, found 378.0346.

4-Chloro-2-iodo-N-methyl-N-(1-phenylvinyl)benzamide (1d):



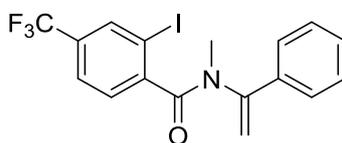
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 105-106 °C; 38% yield, 10.0:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 7.87-7.84 (m, 0.09H), 7.70 (d, *J* = 1.8 Hz, 0.91H), 7.56-7.54 (m, 0.18H), 7.44-7.34 (m, 0.46H), 7.32-7.29 (m, 2.72H), 7.28-7.27 (m, 1.82H), 7.00-6.98 (m, 0.92H), 6.87-6.85 (m, 0.91H), 5.78 (s, 0.09H), 5.51 (s, 0.09H), 5.33 (s, 0.91H), 5.28 (s, 0.91H), 3.35 (s, 2.73H), 2.98 (s, 0.28H). ¹³C NMR (150 MHz, CDCl₃): δ 169.5, 147.8, 140.6, 138.4, 136.2, 134.4, 128.8, 128.6, 127.7, 127.3, 127.2, 125.6, 112.6, 112.3, 94.3, 92.2, 38.7, 36.3. HRMS *m/z* (ESI⁺): Calculated for C₁₆H₁₄ClINO⁺ ([M+H]⁺): 397.9803, found 397.9799.

4-Fluoro-2-iodo-N-methyl-N-(1-phenylvinyl)benzamide (1e):



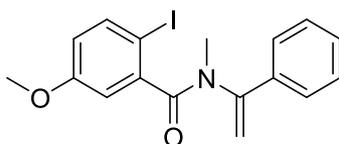
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 132-133 °C; 33% yield, 10.0:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 7.66-7.55 (m, 0.54H), 7.43 (d, *J* = 8.4 Hz, 0.91H), 7.32-7.26 (m, 4.54H), 7.18-7.04 (m, 0.18H), 6.92-6.90 (m, 0.91H), 6.75-6.72 (m, 0.91H), 5.79 (s, 0.09H), 5.52 (s, 0.09H), 5.33 (s, 0.91H), 5.28 (s, 0.91H), 3.37 (s, 2.72H), 2.99 (s, 0.27H). ¹³C NMR (150 MHz, CDCl₃): δ 169.9, 161.4 (d, *J* = 252.0 Hz), 148.3, 138.5, 136.6, 128.9, 128.7, 128.0 (d, *J* = 9.0 Hz), 126.3 (d, *J* = 22.5 Hz), 125.7, 114.5 (d, *J* = 21.0 Hz), 112.3, 94.0, 38.9, 36.6. ¹⁹F NMR (377 MHz, CDCl₃): δ -110.1, -110.8. HRMS *m/z* (ESI⁺): Calculated for C₁₆H₁₄FINO⁺ ([M+H]⁺): 382.0099, found 382.0093.

2-Iodo-N-methyl-N-(1-phenylvinyl)-4-(trifluoromethyl)benzamide (1f):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); yellow oil; 37% yield, 11.1:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 8.11 (d, *J* = 6.0 Hz, 0.08H), 7.94 (d, *J* = 6.0 Hz, 0.90H), 7.71-7.69 (m, 0.08H), 7.57-7.55 (m, 0.17H), 7.50-7.48 (m, 0.08H), 7.39-7.36 (m, 0.25H), 7.29-7.26 (m, 5.46H), 7.04-7.02 (m, 0.92H), 5.80 (s, 0.08H), 5.54 (s, 0.08H), 5.33 (s, 0.92H), 5.31 (s, 0.92H), 3.36 (s, 2.75H), 2.97 (s, 0.25H). ¹³C NMR (150 MHz, CDCl₃): δ 169.3, 169.2, 147.7, 146.6, 146.0, 145.7, 136.1, 136.0 (t, *J* = 3.0 Hz), 135.8 (q, *J* = 4.5 Hz), 131.3 (q, *J* = 33.0 Hz), 129.0, 128.7, 128.5, 128.4, 127.3, 126.7, 125.8, 125.7, 124.1 (q, *J* = 4.5 Hz), 122.3 (q, *J* = 271.5 Hz), 112.8, 112.5, 93.9, 91.9, 38.6, 36.3. ¹⁹F NMR (377 MHz, CDCl₃): δ -62.9, -63.0. HRMS *m/z* (ESI⁺): Calculated for C₁₇H₁₄F₃INO⁺ ([M+H]⁺): 432.0067, found 432.0062.

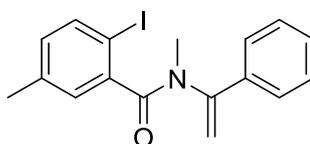
2-Iodo-5-methoxy-N-methyl-N-(1-phenylvinyl)benzamide (1g):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid, Mp = 71-72 °C; 42% yield, 9.1:1 ratio of

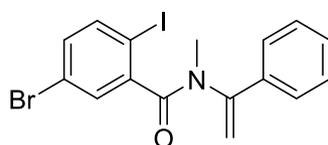
atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.71 (d, $J = 8.4$ Hz, 0.10H), 7.58-7.56 (m, 0.23H), 7.54 (d, $J = 9.0$ Hz, 0.90H), 7.40-7.37 (m, 0.27H), 7.33-7.29 (m, 4.50H), 6.91 (s, 0.10H), 6.71-6.66 (m, 0.10H), 6.48-6.44 (m, 1.79H), 5.79 (s, 0.10H), 5.55 (s, 0.10H), 5.36 (s, 0.90H), 5.35 (s, 0.90H), 3.83 (s, 0.30H), 3.41 (s, 2.70H), 3.37 (s, 2.70H), 3.00 (s, 0.30H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.4, 158.8, 148.0, 143.0, 140.1, 139.9, 139.7, 136.8, 128.7, 128.6, 125.9, 125.8, 117.1, 116.6, 113.2, 112.7, 112.5, 112.1, 82.6, 80.4, 55.5, 55.0, 38.8, 36.5. HRMS m/z (ESI+): Calculated for $\text{C}_{17}\text{H}_{17}\text{INO}_2^+$ ($[\text{M}+\text{H}]^+$): 394.0298, found 394.0294.

2-Iodo-N,5-dimethyl-N-(1-phenylvinyl)benzamide (1h):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, $\text{Mp} = 65\text{-}67$ $^\circ\text{C}$; 42% yield, 6.7:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.71 (d, $J = 7.8$ Hz, 0.13H), 7.58-7.57 (m, 0.26H), 7.54-7.53 (m, 0.87H), 7.40-7.34 (m, 0.39H), 7.30-7.27 (m, 2.61H), 7.25-7.23 (m, 1.73H), 7.17 (s, 0.13H), 6.92 (d, $J = 7.8$ Hz, 0.13H), 6.68-6.67 (m, 1.74H), 5.79 (s, 0.13H), 5.54 (s, 0.13H), 5.33 (s, 0.87H), 5.29 (s, 0.87H), 3.37 (s, 2.60H), 3.00 (s, 0.39H), 2.35 (s, 0.39H), 1.98 (s, 2.61H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.7, 148.2, 142.1, 139.0, 138.7, 137.2, 137.0, 131.3, 130.6, 128.6, 128.5, 127.9, 125.8, 112.7, 112.1, 89.9, 87.9, 38.8, 36.5, 20.9, 20.5. HRMS m/z (ESI+): Calculated for $\text{C}_{17}\text{H}_{17}\text{INO}^+$ ($[\text{M}+\text{H}]^+$): 378.0349, found 378.0344.

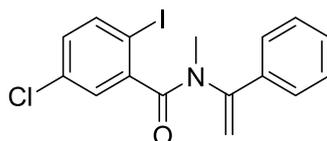
5-Bromo-2-iodo-N-methyl-N-(1-phenylvinyl)benzamide (1i):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, $\text{Mp} = 107\text{-}108$ $^\circ\text{C}$; 41% yield, 8.3:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.70 (d, $J = 8.4$ Hz, 0.11H), 7.55-7.54 (m, 0.32H), 7.51-7.50 (m, 0.89H), 7.40-7.34 (m, 0.43H), 7.31-7.30 (m, 2.67H), 7.23-7.21 (m, 1.78H), 6.98-6.97 (m, 1.77H), 5.79 (s, 0.11H), 5.53 (s, 0.11H),

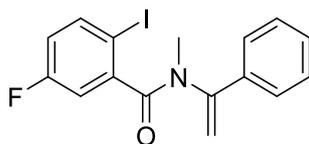
5.33 (s, 0.89H), 5.32 (s, 0.89H), 3.39 (s, 2.67H), 3.00 (s, 0.33H). ^{13}C NMR (150 MHz, CDCl_3): δ 168.9, 148.1, 146.7, 143.9, 140.7, 140.3, 136.7, 135.1, 133.4, 132.7, 130.1, 129.9, 128.9, 128.7, 128.6, 125.8, 125.7, 122.9, 121.5, 112.8, 112.2, 92.0, 90.1, 38.7, 36.7. HRMS m/z (ESI+): Calculated for $\text{C}_{16}\text{H}_{14}\text{BrINO}^+$ ($[\text{M}+\text{H}]^+$): 441.9298, found 441.9293.

5-Chloro-2-iodo-N-methyl-N-(1-phenylvinyl)benzamide (1j):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 80-82 °C; 34% yield, 6.3:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.79 (d, J = 8.4 Hz, 0.14H), 7.60 (d, J = 8.4 Hz, 0.86H), 7.42-7.36 (m, 0.96H), 7.33-7.25 (m, 5.17H), 7.12-7.10 (m, 0.13H), 6.87-6.85 (m, 1.72H), 5.82 (s, 0.14H), 5.55 (s, 0.14H), 5.35 (s, 0.86H), 5.34 (s, 0.86H), 3.40 (s, 2.57H), 3.02 (s, 0.41H). ^{13}C NMR (150 MHz, CDCl_3): δ 169.0, 148.0, 143.6, 140.4, 140.1, 136.6, 135.1, 135.0, 133.6, 130.4, 129.8, 128.9, 128.6, 127.1, 125.8, 125.7, 112.8, 112.2, 91.2, 38.7, 36.6. HRMS m/z (ESI+): Calculated for $\text{C}_{16}\text{H}_{14}\text{ClINO}^+$ ($[\text{M}+\text{H}]^+$): 397.9803, found 397.9800.

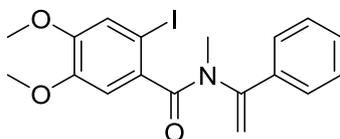
5-Fluoro-2-iodo-N-methyl-N-(1-phenylvinyl)benzamide (1k):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 87-88 °C; 32% yield, 9.1:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.83-7.80 (m, 0.10H), 7.65-7.63 (m, 0.90H), 7.56-7.55 (m, 0.19H), 7.41-7.36 (m, 0.30H), 7.33-7.26 (m, 4.50H), 7.15-7.09 (m, 0.10H), 6.89-6.88 (m, 0.10H), 6.67-6.63 (m, 1.80H), 5.80 (s, 0.10H), 5.54 (s, 0.10H), 5.34 (s, 0.90H), 5.32 (s, 0.90H), 3.37 (s, 2.70H), 3.00 (s, 0.30H). ^{13}C NMR (150 MHz, CDCl_3): δ 169.2, 161.9 (d, J = 247.5 Hz), 148.0, 144.0 (d, J = 6.0 Hz), 140.6 (d, J = 7.5 Hz), 136.4, 129.0, 128.9, 128.8, 128.7, 125.9, 125.8, 117.3 (d, J = 22.5 Hz), 114.5 (d, J = 24.0 Hz), 112.9, 112.4, 87.3, 38.8, 36.5. ^{19}F NMR (377 MHz, CDCl_3): δ -

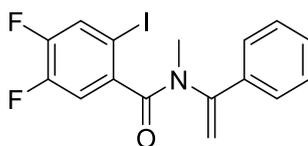
112.4, -114.0. HRMS m/z (ESI+): Calculated for $C_{16}H_{14}FINO^+$ ($[M+H]^+$): 382.0099, found 382.0093.

2-Iodo-4,5-dimethoxy-N-methyl-N-(1-phenylvinyl)benzamide (1l):



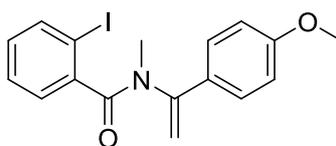
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil, 36% yield (for the last step); 1H NMR (500 MHz, $CDCl_3$): δ 7.28 (s, 5H), 7.07 (s, 1H), 6.33 (s, 1H), 5.35 (s, 1H), 5.32 (s, 1H), 3.78 (s, 3H), 3.38 (s, 3H), 3.34 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 170.3, 149.0, 148.0, 147.9, 136.9, 134.5, 128.5, 128.4, 125.4, 121.0, 112.2, 110.1, 82.4, 55.9, 55.1, 36.6. HRMS m/z (ESI+): Calculated for $C_{18}H_{19}INO_3^+$ ($[M+H]^+$): 424.0404, found 424.0400.

4,5-Difluoro-2-iodo-N-methyl-N-(1-phenylvinyl)benzamide (1m):



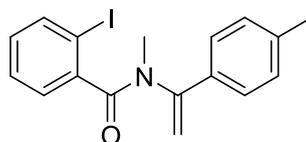
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, $M_p = 75-76$ °C; 38% yield, 14.3:1 ratio of atropisomers (for the last step); 1H NMR (600 MHz, $CDCl_3$): δ 7.66-7.63 (m, 0.07H), 7.54-7.52 (m, 0.13H), 7.49-7.46 (m, 0.93H), 7.44-7.37 (m, 0.26H), 7.34-7.30 (m, 2.79H), 7.26-7.24 (m, 1.86H), 6.75-6.72 (m, 0.93H), 5.79 (s, 0.07H), 5.52 (s, 0.07H), 5.36 (s, 0.93H), 5.31 (s, 0.93H), 3.38 (s, 2.79H), 3.00 (s, 0.20H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 168.4, 150.2 (dd, $J = 13.5$ Hz, 6.0 Hz), 148.5 (dd, $J = 13.5$ Hz, 3.0 Hz), 147.9, 138.9 (t, $J = 4.5$ Hz), 136.4, 135.0, 132.1, 128.9, 128.7, 128.3 (d, $J = 4.5$ Hz), 127.8 (d, $J = 19.5$ Hz), 125.7, 125.5, 115.9 (d, $J = 19.5$ Hz), 112.7, 112.2, 86.3, 36.6, 33.8. ^{19}F NMR (377 MHz, $CDCl_3$): δ -133.8 (d, $J = 22.62$ Hz), -134.5 (d, $J = 18.85$ Hz), -135.8 (d, $J = 18.85$ Hz), -137.5 (d, $J = 18.85$ Hz). HRMS m/z (ESI+): Calculated for $C_{16}H_{13}F_2INO^+$ ($[M+H]^+$): 400.0004, found 400.0001.

2-Iodo-N-(1-(4-methoxyphenyl)vinyl)-N-methylbenzamide (1n):



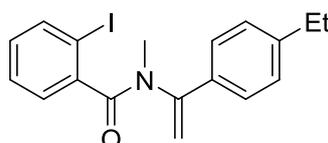
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid, Mp = 80-81 °C; 40% yield, 10.0:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 7.87 (d, *J* = 7.8 Hz, 0.09H), 7.73 (d, *J* = 7.8 Hz, 0.91H), 7.52-7.35 (m, 0.63H), 7.26-7.24 (m, 1.81H), 7.06-7.04 (m, 0.91H), 6.99-6.97 (m, 0.91H), 6.91-6.84 (m, 2.75H), 5.69 (s, 0.09H), 5.43 (s, 0.09H), 5.198 (s, 0.91H), 5.195 (s, 0.91H), 3.82 (s, 2.73H), 3.33 (s, 2.73H), 2.98 (s, 0.27H), 1.71 (s, 0.27H). ¹³C NMR (150 MHz, CDCl₃): δ 170.6, 160.1, 147.6, 142.4, 139.2, 129.7, 128.8, 127.21, 127.18, 126.5, 114.0, 110.6, 94.4, 55.3, 36.1. HRMS *m/z* (ESI⁺): Calculated for C₁₇H₁₇INO₂⁺ ([M+H]⁺): 394.0298, found 394.0295.

2-Iodo-N-methyl-N-(1-(*p*-tolyl)vinyl)benzamide (1o):



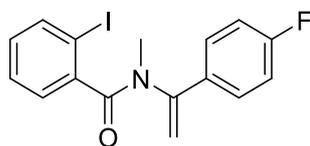
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 137-139 °C; 40% yield, 9.1:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 7.85 (d, *J* = 8.4 Hz, 0.10H), 7.71 (d, *J* = 7.8 Hz, 0.89H), 7.47-7.34 (m, 0.70H), 7.22-7.21 (m, 1.81H), 7.13-7.12 (m, 1.80H), 7.04-6.98 (m, 1.81H), 6.89-6.86 (m, 0.90H), 5.74 (s, 0.10H), 5.48 (s, 0.10H), 5.27 (s, 0.90H), 5.23 (s, 0.90H), 3.31 (s, 2.70H), 2.97 (s, 0.29H), 2.34 (s, 3.00H). ¹³C NMR (150 MHz, CDCl₃): δ 170.5, 147.8, 142.3, 139.1, 138.8, 133.3, 130.1, 129.6, 129.3, 128.4, 127.2, 127.0, 126.5, 125.9, 125.7, 111.7, 111.5, 94.3, 38.8, 36.0, 21.1. HRMS *m/z* (ESI⁺): Calculated for C₁₇H₁₇INO⁺ ([M+H]⁺): 378.0349, found 378.0346.

N-(1-(4-ethylphenyl)vinyl)-2-iodo-N-methylbenzamide (1p):



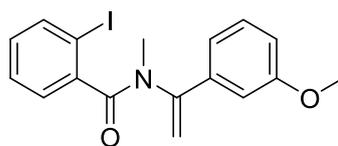
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 104-106 °C; 39% yield, 8.3:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 7.86 (d, *J* = 7.8 Hz, 0.11H), 7.72 (d, *J* = 7.8 Hz, 0.88H), 7.50-7.35 (m, 0.54H), 7.24-7.23 (m, 1.79H), 7.16-7.14 (m, 1.78H), 7.11-7.09 (m, 0.21H), 7.04-6.98 (m, 1.78H), 6.89-6.87 (m, 0.89H), 5.76 (s, 0.11H), 5.49 (s, 0.11H), 5.27 (s, 0.89H), 5.23 (s, 0.89H), 3.32 (s, 2.68H), 2.98 (s, 0.32H), 2.64 (q, *J* = 7.8 Hz, 2.00H), 1.23 (t, *J* = 7.8 Hz, 3.00H). ¹³C NMR (150 MHz, CDCl₃): δ 170.6, 147.9, 145.2, 142.3, 139.2, 133.6, 130.2, 129.7, 128.5, 128.1, 127.2, 126.6, 125.9, 111.8, 111.5, 94.4, 38.9, 36.1, 28.5, 15.4. HRMS *m/z* (ESI⁺): Calculated for C₁₈H₁₉INO⁺ ([M+H]⁺): 392.0506, found 392.0500.

***N*-(1-(4-fluorophenyl)vinyl)-2-iodo-*N*-methylbenzamide (1q):**



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 116-117 °C; 54% yield, 7.1:1 ratio of atropisomers (for the last step); ¹H NMR (600 MHz, CDCl₃): δ 7.87 (d, *J* = 7.8 Hz, 0.13H), 7.71 (d, *J* = 7.8 Hz, 0.87H), 7.57-7.56 (m, 0.25H), 7.45-7.44 (m, 0.24H), 7.35-7.33 (m, 0.25H), 7.27-7.23 (m, 1.75H), 7.06-7.04 (m, 0.90H), 7.00-6.97 (m, 1.76H), 6.94-6.88 (m, 1.74H), 6.84-6.82 (m, 0.12H), 5.72 (s, 0.12H), 5.51 (s, 0.12H), 5.29 (s, 0.88H), 5.24 (s, 0.88H), 3.36 (s, 2.63H), 2.99 (s, 0.37H). ¹³C NMR (150 MHz, CDCl₃): δ 170.5, 162.8 (d, *J* = 247.5 Hz), 147.3, 142.3, 142.1, 139.22, 139.15, 132.9 (d, *J* = 3.0 Hz), 129.8, 129.7, 127.6 (d, *J* = 7.5 Hz), 127.2, 127.0, 126.7, 126.5, 115.6 (d, *J* = 22.5 Hz), 114.5, 112.1, 110.4, 94.3, 94.1, 36.4, 36.1. ¹⁹F NMR (377 MHz, CDCl₃): δ -112.3, -112.9. HRMS *m/z* (ESI⁺): Calculated for C₁₆H₁₄FINO⁺ ([M+H]⁺): 382.0099, found 382.0093.

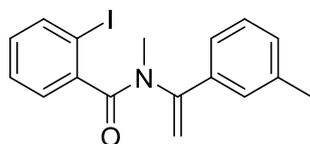
***N*-(1-(3-methoxyphenyl)vinyl)-2-iodo-*N*-methylbenzamide (1r):**



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid, Mp = 98-99 °C; 37% yield, 7.1:1 ratio of

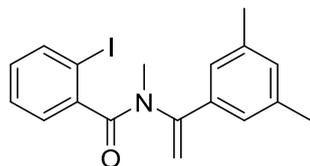
atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.87 (d, $J = 8.4$ Hz, 0.12H), 7.72 (d, $J = 7.8$ Hz, 0.88H), 7.45-7.43 (m, 0.12H), 7.36-7.29 (m, 0.36H), 7.24-7.21 (m, 0.89H), 7.17-7.10 (m, 0.36H), 7.06-7.04 (m, 0.88H), 6.99-6.97 (m, 0.88H), 6.90-6.83 (m, 2.63H), 6.80-6.79 (m, 0.88H), 5.79 (s, 0.12H), 5.54 (s, 0.12H), 5.30 (s, 0.88H), 5.29 (s, 0.88H), 3.83 (s, 0.36H), 3.78 (s, 2.64H), 3.35 (s, 2.64H), 2.99 (s, 0.36H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.6, 159.8, 148.0, 142.2, 139.2, 138.0, 130.2, 129.72, 129.66, 128.5, 127.2, 127.0, 126.8, 118.4, 114.1, 112.9, 112.5, 111.7, 94.3, 55.3, 38.9, 36.3. HRMS m/z (ESI+): Calculated for $\text{C}_{17}\text{H}_{17}\text{INO}_2^+$ ($[\text{M}+\text{H}]^+$): 394.0298, found 394.0294.

2-Iodo-N-methyl-N-(1-(*m*-tolyl)vinyl)benzamide (1s):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 104-106 °C; 38% yield, 8.3:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.88-7.86 (m, 0.11H), 7.70 (d, $J = 10.2$ Hz, 0.89H), 7.45-7.26 (m, 0.75H), 7.20-7.17 (m, 0.89H), 7.11-7.02 (m, 3.57H), 6.98-6.97 (m, 0.89H), 6.89-6.86 (m, 0.89H), 5.78 (s, 0.11H), 5.51 (s, 0.11H), 5.29 (s, 0.89H), 5.27 (s, 0.89H), 3.35 (s, 2.67H), 2.98 (s, 0.32H), 2.38 (s, 0.32H), 2.33 (s, 2.67H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.6, 148.2, 142.2, 139.2, 138.3, 136.4, 130.2, 129.7, 129.6, 128.5, 127.2, 126.7, 126.5, 123.0, 112.5, 112.0, 94.3, 38.9, 36.4, 21.4. HRMS m/z (ESI+): Calculated for $\text{C}_{17}\text{H}_{17}\text{INO}^+$ ($[\text{M}+\text{H}]^+$): 378.0349, found 378.0345.

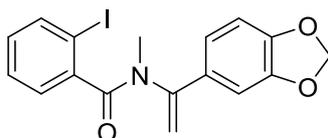
N-(1-(3,5-dimethylphenyl)vinyl)-2-iodo-N-methylbenzamide (1t):



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 107-108 °C; 44% yield, 10.0:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.86 (d, $J = 7.8$ Hz, 0.09H), 7.70 (d, $J = 7.8$ Hz, 0.91H), 7.46-7.35 (m, 0.18H), 7.20 (s, 0.18H), 7.13-

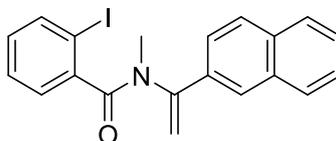
7.08 (m, 0.18H), 7.06-7.03 (m, 0.92H), 7.00-6.98 (m, 0.92H), 6.93-6.86 (m, 3.65H), 5.75 (s, 0.09H), 5.48 (s, 0.09H), 5.26 (s, 0.91H), 5.23 (s, 0.91H), 3.35 (s, 2.73H), 2.98 (s, 0.27H), 2.34 (s, 0.54H), 2.28 (s, 5.46H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.5, 148.3, 142.2, 139.1, 138.1, 136.4, 130.5, 130.2, 129.6, 128.4, 127.1, 126.7, 123.7, 123.5, 111.7, 112.2, 94.3, 38.9, 36.3, 21.2. HRMS m/z (ESI $^+$): Calculated for $\text{C}_{18}\text{H}_{19}\text{INO}^+$ ($[\text{M}+\text{H}]^+$): 392.0506, found 392.0499.

***N*-(1-(benzo[*d*][1,3]dioxol-5-yl)vinyl)-2-iodo-*N*-methylbenzamide (1u):**



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid, $\text{Mp} = 101\text{-}102\text{ }^\circ\text{C}$; 45% yield, 6.3:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 7.86 (d, $J = 8.4$ Hz, 0.14H), 7.72 (d, $J = 8.4$ Hz, 0.86H), 7.44-7.43 (m, 0.14H), 7.34-7.33 (m, 0.14H), 7.11-7.05 (m, 1.41H), 7.00-6.99 (m, 0.86H), 6.91-6.88 (m, 0.86H), 6.81-6.73 (m, 2.60H), 5.96 (s, 2.00H), 5.66 (s, 0.14H), 5.43 (s, 0.14H), 5.19 (s, 0.86H), 5.17 (s, 0.86H), 3.32 (s, 2.58H), 2.97 (s, 0.42H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.6, 148.2, 148.0, 147.7, 142.2, 139.2, 130.8, 129.8, 128.5, 127.2, 126.6, 120.0, 111.0, 108.3, 106.4, 106.2, 101.4, 101.3, 94.3, 38.9, 36.3. HRMS m/z (ESI $^+$): Calculated for $\text{C}_{17}\text{H}_{15}\text{INO}_3^+$ ($[\text{M}+\text{H}]^+$): 408.0091, found 408.0086.

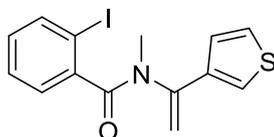
***2*-Iodo-*N*-methyl-*N*-(1-(naphthalen-2-yl)vinyl)benzamide (1v):**



Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, $\text{Mp} = 116\text{-}118\text{ }^\circ\text{C}$; 34% yield, 9.1:1 ratio of atropisomers (for the last step); ^1H NMR (600 MHz, CDCl_3): δ 8.06-8.04 (m, 0.10H), 7.89-7.68 (m, 4.89H), 7.53-7.45 (m, 2.22H), 7.42-7.37 (m, 0.90H), 7.16-7.10 (m, 0.16H), 7.00-6.98 (m, 0.93H), 6.94-6.91 (m, 0.90H), 6.84-6.81 (m, 0.90H), 5.93 (s, 0.10H), 5.63 (s, 0.10H), 5.47 (s, 0.90H), 5.42 (s, 0.90H), 3.42 (s, 2.69H), 3.04 (s, 0.30H). ^{13}C NMR (150 MHz, CDCl_3): δ 170.7, 148.0, 142.2, 139.3, 139.2, 133.5, 133.3, 133.0, 130.3,

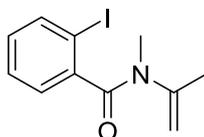
129.8, 128.5, 128.3, 127.5, 127.2, 126.7, 126.60, 126.55, 126.3, 125.1, 123.7, 123.4, 113.2, 113.0, 94.3, 39.0, 36.4. HRMS m/z (ESI+): Calculated for $C_{20}H_{17}INO^+$ ($[M+H]^+$): 414.0349, found 414.0342.

2-Iodo-N-methyl-N-(1-(thiophen-3-yl)vinyl)benzamide (1w):



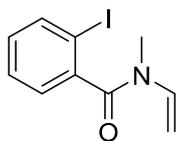
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 134-136 °C; 44% yield, 7.1:1 ratio of atropisomers (for the last step); 1H NMR (600 MHz, $CDCl_3$): δ 7.88-7.86 (m, 0.12H), 7.74 (d, J = 24.6 Hz, 0.88H), 7.47-7.41 (m, 0.26H), 7.35-7.26 (m, 2.21H), 7.09-7.07 (m, 1.02H), 7.02-7.00 (m, 1.66H), 6.93-6.90 (m, 0.87H), 5.74 (s, 0.12H), 5.45 (s, 0.12H), 5.28 (s, 0.88H), 5.26 (s, 0.88H), 3.36 (s, 2.63H), 3.03 (s, 0.37H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 170.4, 143.7, 142.2, 139.2, 138.8, 130.3, 129.8, 128.5, 127.2, 126.7, 126.6, 126.4, 125.4, 122.7, 112.1, 94.2, 36.0. HRMS m/z (ESI+): Calculated for $C_{14}H_{13}INOS^+$ ($[M+H]^+$): 369.9757, found 369.9754.

2-Iodo-N-methyl-N-(prop-1-en-2-yl)benzamide (1x):



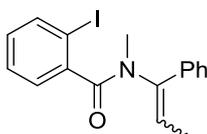
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, Mp = 106-107 °C; 34% yield, 3.3:1 ratio of atropisomers (for the last step); 1H NMR (500 MHz, $CDCl_3$): δ 7.80 (d, J = 8.0 Hz, 1.00H), 7.38-7.30 (m, 0.99H), 7.18-7.01 (m, 1.99H), 4.93 (s, 1.24H), 4.74 (s, 0.75H), 3.22 (s, 2.30H), 2.97 (s, 0.69H), 2.13 (s, 0.73H), 1.82 (s, 2.30H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 169.4, 144.5, 142.7, 139.1, 129.7, 127.3, 127.0, 113.8, 93.6, 33.7, 20.5. HRMS m/z (ESI+): Calculated for $C_{11}H_{12}INNaO^+$ ($[M+Na]^+$): 323.9856, found 323.9848.

2-Iodo-N-methyl-N-vinylbenzamide (1y):



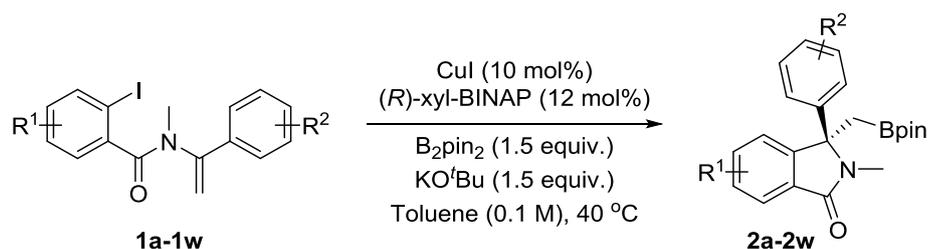
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:20 (v/v); yellow oil; 87% yield, 3.0:1 ratio of atropisomers (for the last step); $^1\text{H NMR}$ (500 MHz, CDCl_3): δ 7.84 (dd, $J = 8.0$ Hz, 1.0 Hz, 0.97H), 7.70-7.65 (m, 0.24H), 7.43-7.39 (m, 1.00H), 7.24-7.21 (m, 0.99H), 7.12-7.09 (m, 0.99H), 6.41 (dd, $J = 15.5$ Hz, 9.0 Hz, 0.74H), 4.63 (dd, $J = 6.5$ Hz, 1.0 Hz, 0.25H), 4.60 (s, 0.25H), 4.54 (dd, $J = 15.5$ Hz, 1.5 Hz, 0.75H), 4.27 (dd, $J = 9.0$ Hz, 1.0 Hz, 0.75H), 3.27 (s, 2.25H), 2.93 (s, 0.75H). $^{13}\text{C NMR}$ (125 MHz, CDCl_3): δ 169.8, 169.2, 142.1, 141.5, 139.3, 139.2, 134.6, 132.1, 130.6, 130.5, 128.4, 128.3, 127.7, 127.2, 95.8, 94.3, 92.6, 92.1, 33.1, 28.6. HRMS m/z (ESI+): Calculated for $\text{C}_{10}\text{H}_{11}\text{INO}^+$ ($[\text{M}+\text{H}]^+$): 287.9880, found 287.9884.

2-Iodo-N-methyl-N-(1-phenylprop-1-en-1-yl)benzamide (1z):



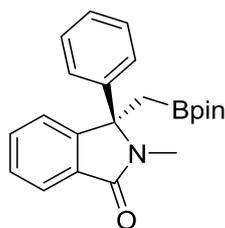
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:10 (v/v); white solid, $\text{Mp} = 75\text{-}76$ °C; 51% yield, 5.0:1 ratio of isomers (for the last step); $^1\text{H NMR}$ (500 MHz, CDCl_3): δ 7.85 (dd, $J = 8.0$ Hz, 1.5 Hz, 0.16H), 7.70 (dd, $J = 8.0$ Hz, 1.5 Hz, 0.82H), 7.51-7.39 (m, 0.83H), 7.30-7.22 (m, 2.84H), 7.07-7.01 (m, 2.60H), 6.90-6.83 (m, 1.70H), 6.11 (q, $J = 7.5$ Hz, 0.16H), 5.92 (q, $J = 7.5$ Hz, 0.84H), 3.38 (s, 2.51H), 2.86 (s, 0.49H), 1.91 (d, $J = 7.0$ Hz, 0.50H), 1.63 (d, $J = 7.5$ Hz, 2.52H). $^{13}\text{C NMR}$ (125 MHz, CDCl_3): δ 170.7, 142.9, 141.0, 139.2, 139.0, 136.1, 135.3, 130.0, 129.4, 129.1, 128.4, 128.3, 128.2, 127.9, 127.8, 127.2, 127.1, 127.0, 125.1, 124.6, 94.0, 92.1, 38.5, 37.2, 14.2. HRMS (ESI+) m/z : calculated for $\text{C}_{17}\text{H}_{16}\text{INNaO}^+$ ($[\text{M}+\text{Na}]^+$): 400.0169, found 400.0196.

5. General procedure for the Cu-catalyzed enantioselective arylboration reaction



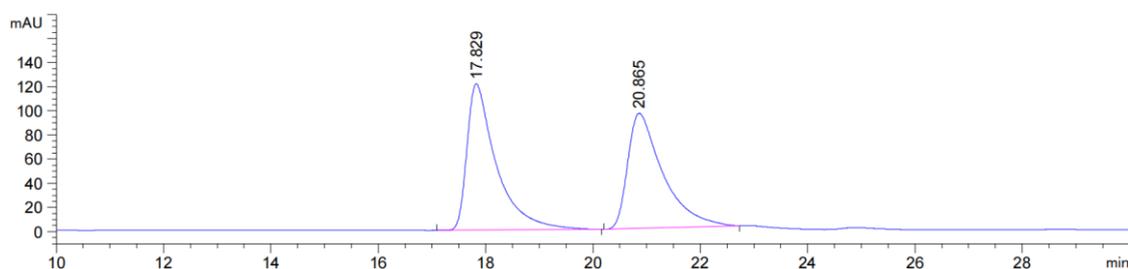
General procedure for the Cu-catalyzed enantioselective arylboration reaction of 1a-1w: In an N₂-filled glovebox, to an oven-dried Schlenk tube equipped with a stir bar was charged with CuI (10 mol%), (R)-xyl-BINAP (12 mol%), and KO^tBu (0.3 mmol, 1.5 equiv.). The tube was sealed with cap and removed from the glovebox. Toluene (2.0 mL) was then introduced via syringe. The mixture was stirred at 40 °C for 1 h. Then B₂pin₂ (0.3 mmol, 1.5 equiv.) and **1a-1w** were added into the mixture and warmed to 40 °C. The reaction was stirred at 40 °C for 6-48 h. When the reaction was completed, the mixture was extracted with EA. The combined organic phases were dried over anhydrous Na₂SO₄ and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel eluting with petroleum ether/EtOAc to afford the products **2**.

(R)-2-Methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2a):



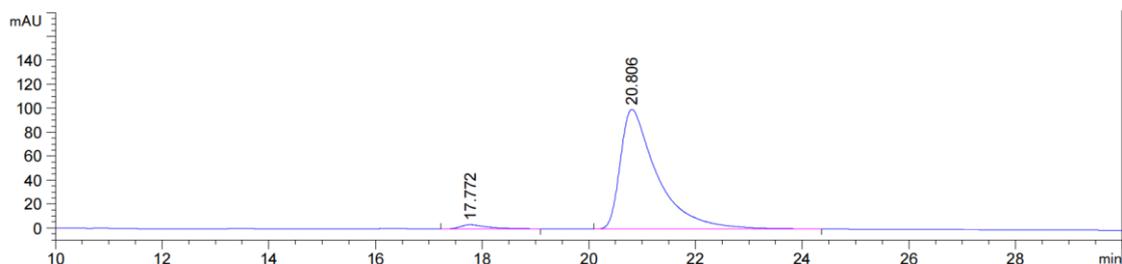
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow solid; Mp = 108-110 °C; 83% yield; $[\alpha]_D^{26} = -58.25$ (*c* 0.5, CH₂Cl₂), 95% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 17.77$ min, $t_{\text{major}} = 20.81$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.87-7.85 (m, 1H), 7.46-7.43 (m, 1H), 7.42-7.39 (m, 1H), 7.33-7.27 (m, 3H), 7.25-7.21 (m, 3H), 2.88 (s, 3H), 2.17 (d, *J* = 18.0 Hz, 1H), 1.96 (d, *J* = 17.4 Hz, 1H), 0.97 (d, *J* = 17.4 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.5, 151.2, 141.4, 131.6, 131.5, 128.7, 127.8, 127.6, 126.0, 123.1, 122.2, 83.2, 68.4, 24.9,

24.5, 24.4. HRMS m/z (ESI+): Calculated for $C_{22}H_{27}BNO_3^+$ ($[M+H]^+$): 364.2079, found 364.2077.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

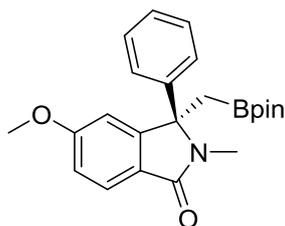
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.829	BB	0.5470	4627.82764	121.33554	51.5696
2	20.865	BB	0.6572	4346.11621	95.44431	48.4304



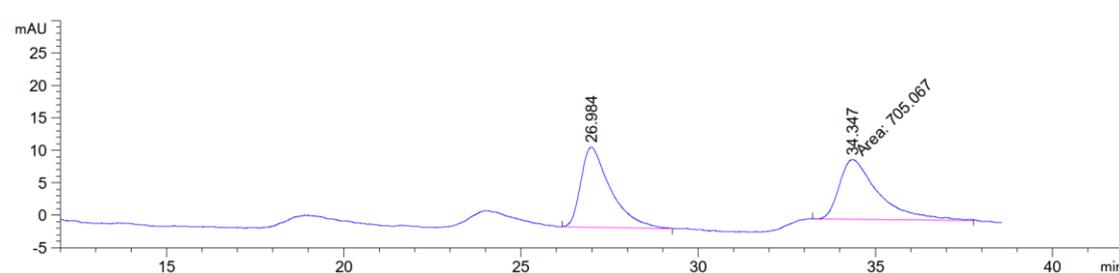
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.772	BB	0.4783	127.50046	3.50600	2.5675
2	20.806	BB	0.6900	4838.34521	100.07679	97.4325

(R)-5-Methoxy-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-methyl)isoindolin-1-one (**2b**):

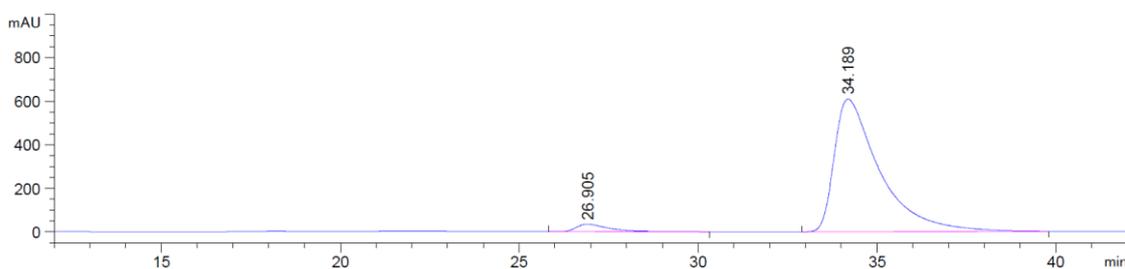


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:3 (v/v); white solid; Mp = 138-139 °C; 57% yield; $[\alpha]_D^{23} = -57.65$ (*c* 0.5, CH₂Cl₂), 92% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 26.91$ min, $t_{\text{major}} = 34.19$ min]; ¹H NMR (400 MHz, CDCl₃): δ 7.75 (d, *J* = 8.4 Hz, 1H), 7.32-7.24 (m, 3H), 7.23-7.19 (m, 2H), 6.92-6.90 (m, 1H), 6.69 (d, *J* = 2.0 Hz, 1H), 3.77 (s, 3H), 2.83 (s, 3H), 2.11 (d, *J* = 14.8 Hz, 1H), 1.89 (d, *J* = 14.8 Hz, 1H), 0.99 (d, *J* = 9.6 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.2, 162.7, 153.4, 141.5, 128.7, 127.6, 126.0, 124.4, 124.3, 114.4, 107.1, 83.2, 68.1, 55.5, 24.8, 24.52, 24.51. HRMS *m/z* (ESI+): Calculated for C₂₃H₂₉BNO₄⁺ ([M+H]⁺): 394.2184, found 394.2182.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

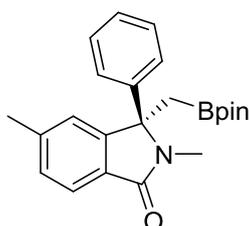
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	26.984	BB	0.7743	715.89581	12.31362	50.3811
2	34.347	MM	1.2747	705.06653	9.21839	49.6189



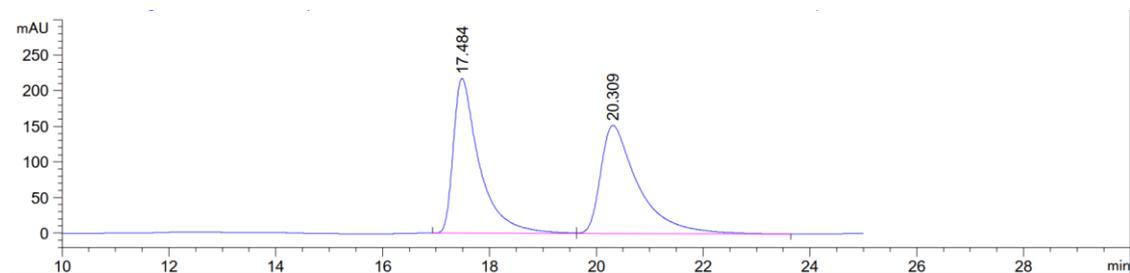
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	26.905	BB	0.9028	2237.57568	35.23751	3.9922
2	34.189	BB	1.2778	5.38118e4	608.45349	96.0078

(R)-2,5-dimethyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)-isoindolin-1-one (**2c**):

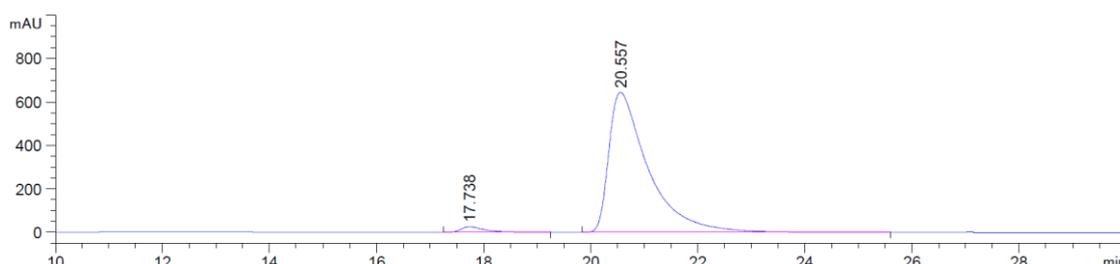


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil; 61% yield; $[\alpha]_D^{23} = -81.30$ (*c* 0.5, CH₂Cl₂), 95% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 17.74$ min, $t_{\text{major}} = 20.56$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.70 (d, *J* = 7.8 Hz, 1H), 7.30-7.27 (m, 2H), 7.25-7.22 (m, 1H), 7.20-7.17 (m, 3H), 6.983-6.980 (m, 1H), 2.83 (s, 3H), 2.32 (s, 3H), 2.11 (d, *J* = 14.4 Hz, 1H), 1.89 (d, *J* = 14.4 Hz, 1H), 0.95 (d, *J* = 22.8 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.6, 151.5, 142.0, 141.6, 129.0, 128.8, 128.6, 127.5, 126.0, 122.9, 122.6, 83.2, 68.2, 24.8, 24.49, 24.45, 21.9. HRMS *m/z* (ESI⁺): Calculated for C₂₃H₂₉BNO₃⁺ ([M+H]⁺): 378.2235, found 378.2233.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

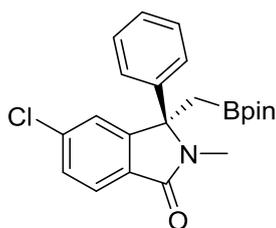
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.484	BV	0.4910	7401.96045	217.54048	49.9857
2	20.309	VB	0.7007	7406.18311	151.93304	50.0143



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

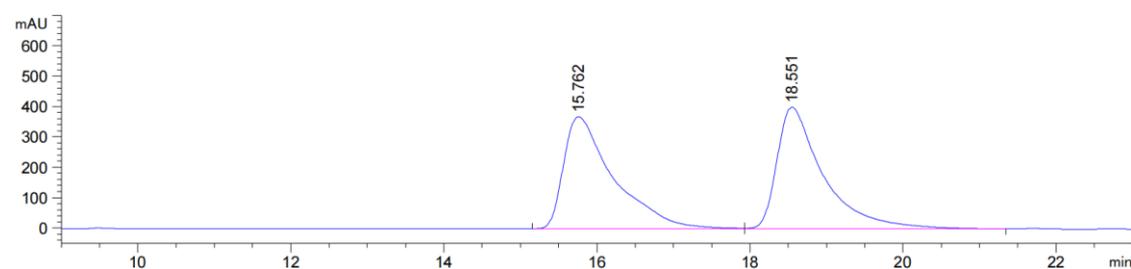
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	17.738	BB	0.4573	801.56012	25.18791	2.3677
2	20.557	BB	0.7438	3.30519e4	645.03485	97.6323

(R)-5-Chloro-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2d):



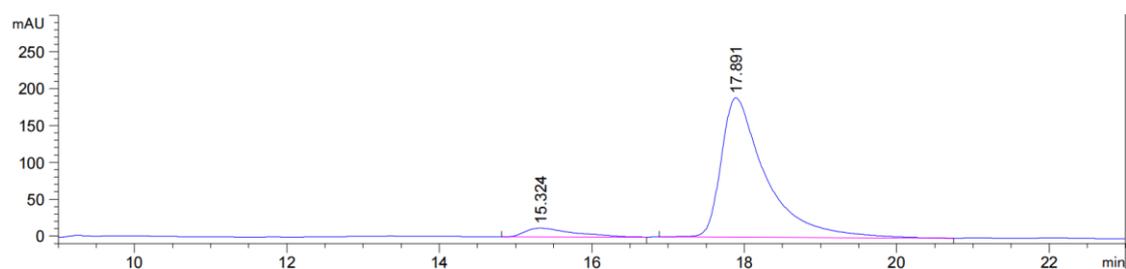
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 112-113 °C; 42% yield; $[\alpha]_D^{20} = -64.70$ (*c* 0.5, CH₂Cl₂), 87% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 230 nm; $t_{\text{minor}} = 15.32$ min, $t_{\text{major}} = 17.89$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.75 (d, *J* = 8.4 Hz, 1H), 7.37-7.35 (m, 1H), 7.32-7.29 (m, 2H), 7.27-7.26 (m, 1H), 7.19-7.16 (m, 3H), 2.83 (s, 3H), 2.12 (d, *J* = 15.0 Hz, 1H), 1.89 (d, *J* = 14.4 Hz, 1H), 0.99 (d, *J* = 10.8 Hz, 12H). ¹³C NMR (100 MHz, CDCl₃): δ 167.4, 152.8, 140.6, 137.7, 130.1, 128.9, 128.4, 127.9, 126.0, 124.3, 122.8, 83.4, 68.2,

24.9, 24.53, 24.49. HRMS m/z (ESI+): Calculated for $C_{22}H_{26}BClNO_3^+$ ($[M+H]^+$): 398.1689, found 398.1690.



Signal 4: DAD1 D, Sig=230,4 Ref=360,100

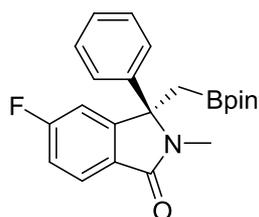
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.762	BV	0.6568	1.67059e4	368.55090	49.7806
2	18.551	VB	0.6071	1.68531e4	400.35199	50.2194



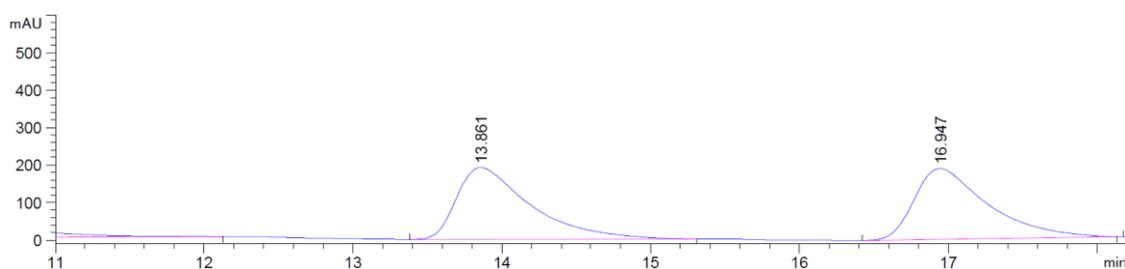
Signal 4: DAD1 D, Sig=230,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.324	BB	0.5980	531.53717	12.06549	6.4437
2	17.891	BB	0.5855	7717.41309	189.33313	93.5563

(R)-5-Fluoro-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2e):

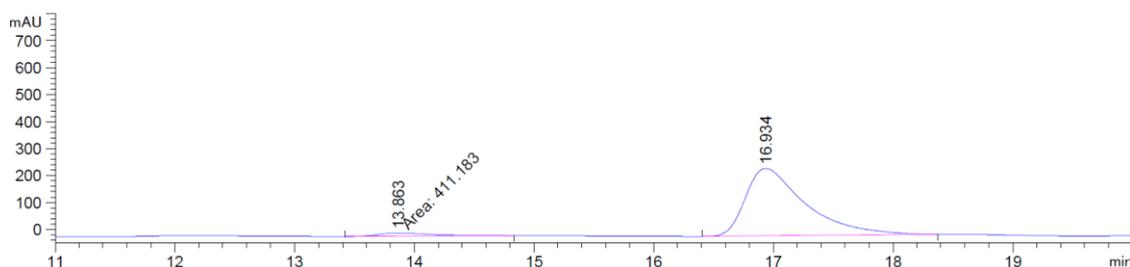


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 127-129 °C; 64% yield; $[\alpha]_D^{23} = -76.15$ (*c* 0.5, CH₂Cl₂), 91% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 13.86$ min, $t_{\text{major}} = 16.93$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.82-7.80 (m, 1H), 7.32-7.26 (m, 3H), 7.19-7.17 (m, 2H), 7.09-7.06 (m, 1H), 6.90-6.88 (m, 1H), 2.84 (s, 3H), 2.11 (d, *J* = 15.0 Hz, 1H), 1.91 (d, *J* = 14.4 Hz, 1H), 0.99 (d, *J* = 12.0 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 167.4, 165.1 (d, *J* = 249.0 Hz), 153.7 (d, *J* = 9.0 Hz), 140.8, 128.8, 127.9, 127.6 (d, *J* = 3.0 Hz), 125.9, 125.0 (d, *J* = 10.5 Hz), 115.6 (d, *J* = 22.5 Hz), 109.7 (d, *J* = 24.0 Hz), 83.3, 68.2, 25.0, 24.52, 24.50. ¹⁹F NMR (377 MHz, CDCl₃): δ -107.4. HRMS *m/z* (ESI+): Calculated for C₂₂H₂₆BFNO₃⁺ ([M+H]⁺): 382.1984, found 382.1981.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

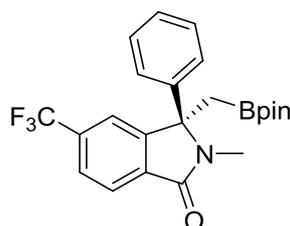
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.861	BB	0.4998	6013.04883	175.48909	50.3907
2	16.947	BB	0.5037	5919.80664	172.77185	49.6093



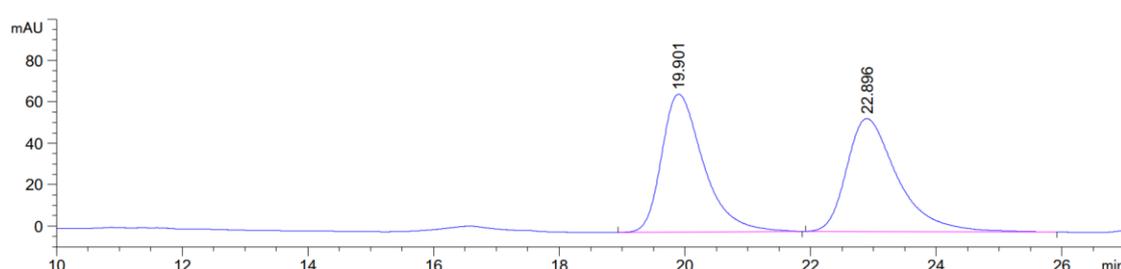
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.863	MM	0.5784	411.18347	11.84742	4.5210
2	16.934	BB	0.5058	8683.87207	250.88623	95.4790

(R)-2-Methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)-5-(*t*-rifluoromethyl)isoindolin-1-one (2f):

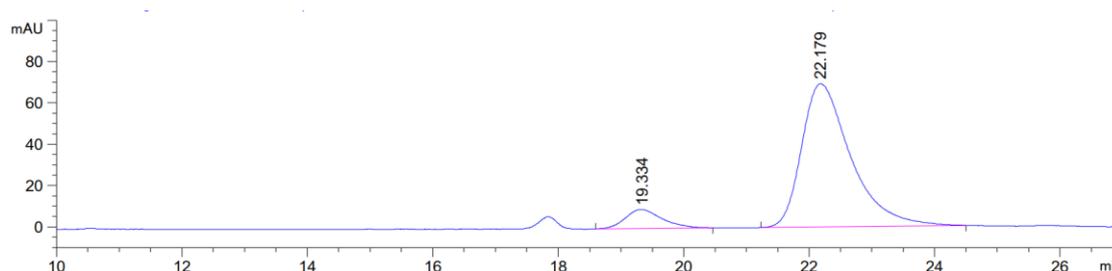


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil; 36% yield; $[\alpha]_D^{20} = -55.20$ (*c* 0.5, CH₂Cl₂), 82% ee [Phenomenex Lux 5u Amylose-2 column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 98/02, 0.7 mL/min, 230 nm; $t_{\text{minor}} = 19.33$ min, $t_{\text{major}} = 22.18$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.95 (d, *J* = 7.8 Hz, 1H), 7.68-7.67 (m, 1H), 7.50 (s, 1H), 7.33-7.27 (m, 3H), 7.19-7.17 (m, 2H), 2.85 (s, 3H), 2.19 (d, *J* = 15.0 Hz, 1H), 1.89 (d, *J* = 15.0 Hz, 1H), 0.96 (d, *J* = 10.2 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 167.0, 151.7, 140.1, 135.0, 133.4 (q, *J* = 33.0 Hz), 128.9, 128.1, 126.0, 125.2 (q, *J* = 3.0 Hz), 123.8 (q, *J* = 271.5 Hz), 123.6, 119.7 (q, *J* = 4.5 Hz), 83.4, 68.7, 24.9, 24.48, 24.46. ¹⁹F NMR (377 MHz, CDCl₃): δ -62.3. HRMS *m/z* (ESI⁺): Calculated for C₂₃H₂₆BF₃NO₃⁺ ([M+H]⁺): 432.1952, found 432.1950.



Signal 4: DAD1 D, Sig=230,4 Ref=360,100

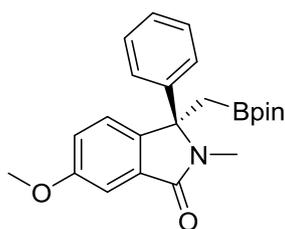
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.901	BB	0.6954	3080.97461	66.64259	50.1654
2	22.896	BB	0.8270	3060.65869	54.51189	49.8346



Signal 4: DAD1 D, Sig=230,4 Ref=360,100

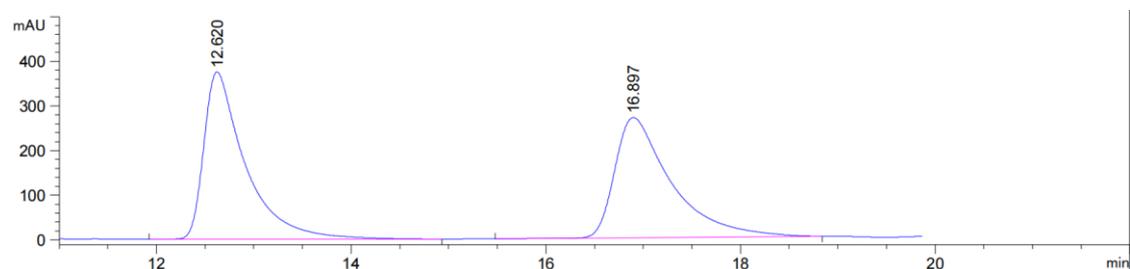
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	19.334	BB	0.4837	374.25452	9.25721	9.0368
2	22.179	BB	0.7345	3767.17725	69.27238	90.9632

(R)-6-Methoxy-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-methyl)isoindolin-1-one (2g):



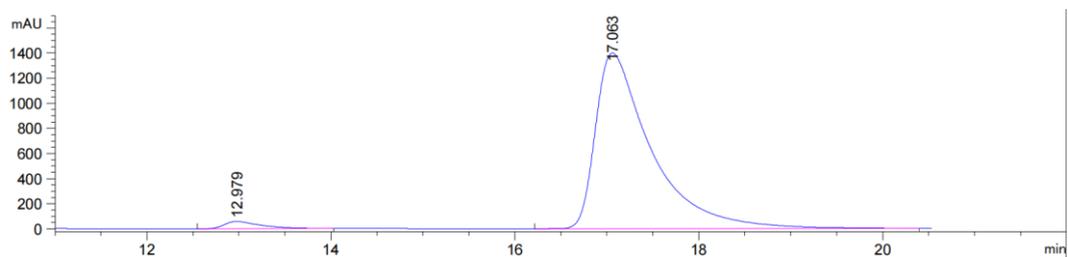
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:3 (v/v); white solid; Mp = 118-120 °C; 90% yield; $[\alpha]_D^{20} = -103.35$ (*c* 0.5, CH₂Cl₂), 95% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 90/10, 0.7 mL/min, 210 nm; *t*_{minor} = 12.98 min, *t*_{major} = 17.06 min]; ¹H NMR (600 MHz, CDCl₃): δ 7.35 (d, *J* = 2.4 Hz, 1H), 7.30-7.27 (m, 2H), 7.25-7.22 (m, 1H), 7.20-7.18 (m, 2H), 7.12-7.10 (m, 1H), 7.00-6.99 (m, 1H), 3.85 (s, 3H), 2.86 (s, 3H), 2.13 (d, *J* = 15.0 Hz, 1H), 1.91 (d, *J* = 15.0 Hz, 1H), 0.98 (d, *J* = 13.8 Hz, 12H).

^{13}C NMR (150 MHz, CDCl_3): δ 168.3, 159.8, 143.7, 141.6, 132.9, 128.6, 127.5, 125.9, 123.1, 119.7, 105.9, 83.2, 68.0, 55.7, 25.0, 24.50, 24.45. HRMS m/z (ESI+): Calculated for $\text{C}_{23}\text{H}_{29}\text{BNO}_4^+$ ($[\text{M}+\text{H}]^+$): 394.2184, found 394.2185.



Signal 2: DAD1 B, Sig=210,4 Ref=360,100

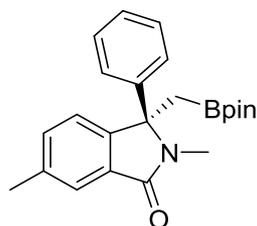
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.620	BB	0.4197	1.11083e4	374.91656	50.6349
2	16.897	BB	0.5809	1.08297e4	269.43152	49.3651



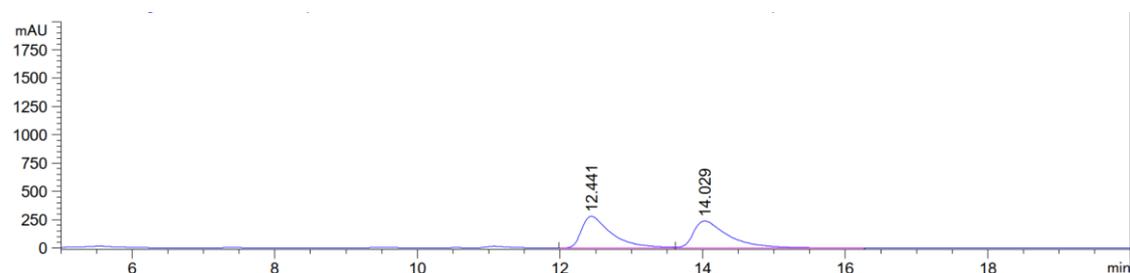
Signal 2: DAD1 B, Sig=210,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.979	BB	0.3945	1607.48083	58.15455	2.6592
2	17.063	BB	0.6089	5.88428e4	1398.38684	97.3408

(R)-2,6-diMethyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)i-soindolin-1-one (2h):

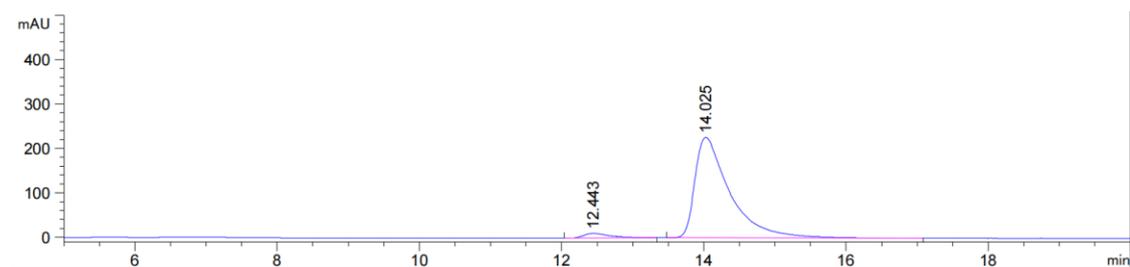


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 98-100 °C; 78% yield; $[\alpha]_D^{20} = -95.50$ (*c* 0.5, CH₂Cl₂), 93% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 90/10, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 12.44$ min, $t_{\text{major}} = 14.03$ min]; ¹H NMR (400 MHz, CDCl₃): δ 7.65-7.64 (m, 1H), 7.30-7.23 (m, 4H), 7.20-7.18 (m, 2H), 7.10-7.08 (m, 1H), 2.85 (s, 3H), 2.40 (s, 3H), 2.13 (d, *J* = 14.4 Hz, 1H), 1.90 (d, *J* = 14.8 Hz, 1H), 0.96 (d, *J* = 13.2 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.5, 148.6, 141.6, 137.7, 132.5, 131.6, 128.6, 127.5, 125.9, 123.2, 121.9, 83.1, 68.2, 24.8, 24.5, 24.4, 21.2. HRMS *m/z* (ESI⁺): Calculated for C₂₃H₂₉BNO₃⁺ ([M+H]⁺): 378.2235, found 378.2232.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

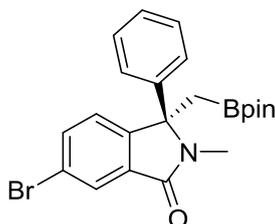
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.441	BV	0.4217	8216.03516	280.53244	49.9973
2	14.029	VB	0.5018	8216.91211	238.57738	50.0027



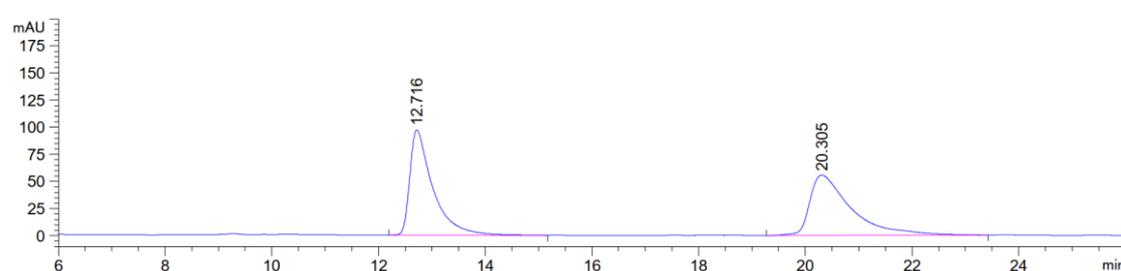
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.443	BB	0.3680	268.59204	10.51500	3.3700
2	14.025	BB	0.4938	7701.48975	225.88440	96.6300

(R)-6-Bromo-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2i):

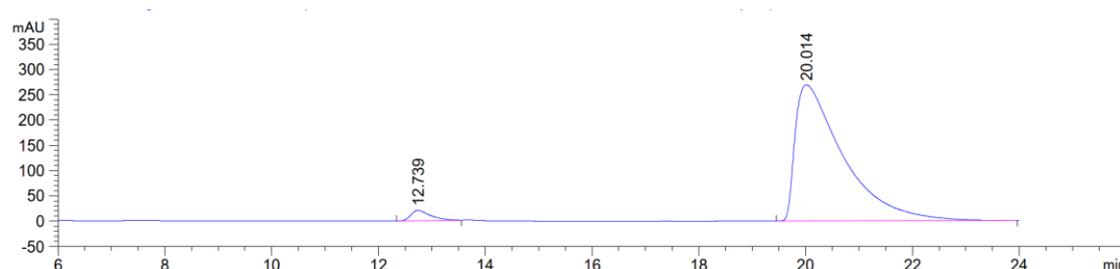


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 109-110 °C; 59% yield; $[\alpha]_D^{20} = -93.85$ (*c* 0.5, CH₂Cl₂), 94% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 90/10, 0.7 mL/min, 280 nm; $t_{\text{minor}} = 12.74$ min, $t_{\text{major}} = 20.01$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.98 (d, *J* = 1.8 Hz, 1H), 7.55-7.53 (m, 1H), 7.32-7.29 (m, 2H), 7.27 (s, 1H), 7.18-7.17 (m, 2H), 7.10-7.08 (m, 1H), 2.85 (s, 3H), 2.12 (d, *J* = 15.0 Hz, 1H), 1.92 (d, *J* = 15.0 Hz, 1H), 0.99 (d, *J* = 10.8 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 166.9, 150.0, 140.6, 134.4, 133.6, 128.8, 127.8, 126.1, 125.9, 123.9, 121.8, 83.3, 68.3, 25.0, 24.47, 24.46. HRMS *m/z* (ESI⁺): Calculated for C₂₂H₂₆BBrNO₃⁺ ([M+H]⁺): 442.1184, found 442.1181.



Signal 7: DAD1 G, Sig=280,4 Ref=360,100

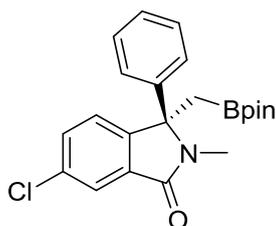
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.716	BB	0.4283	2916.02051	97.12334	49.4824
2	20.305	BB	0.7727	2977.03003	55.60132	50.5176



Signal 7: DAD1 G, Sig=280,4 Ref=360,100

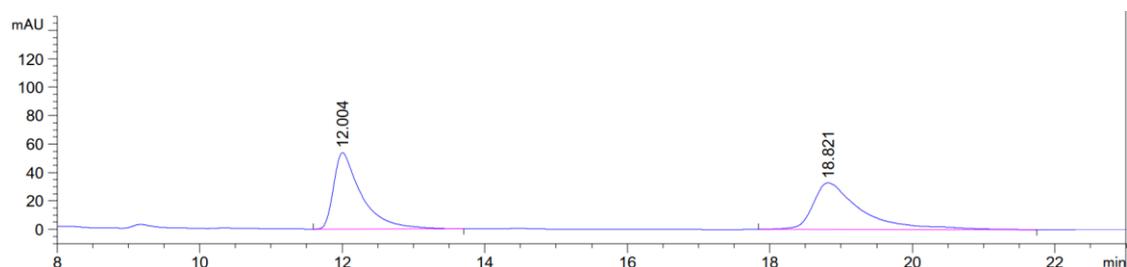
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.739	BB	0.3611	515.33856	20.37170	2.9576
2	20.014	BBA	0.8854	1.69089e4	269.72314	97.0424

(R)-6-Chloro-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2j):



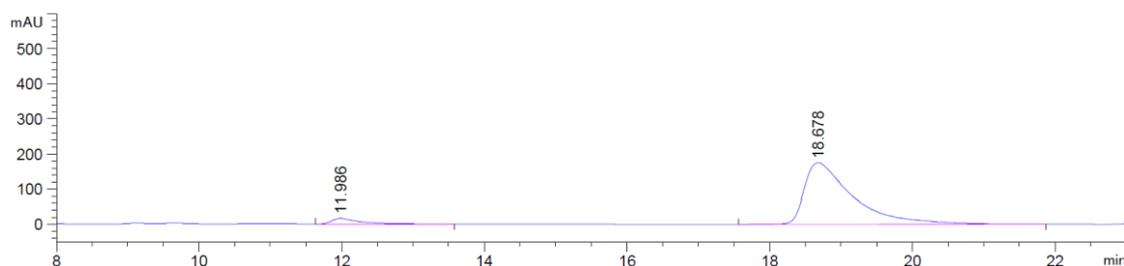
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 97-99 °C; 66% yield; $[\alpha]_D^{20} = -84.60$ (*c* 0.5, CH₂Cl₂), 90% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 260 nm; $t_{\text{minor}} = 11.99$ min, $t_{\text{major}} = 18.68$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.80 (d, *J* = 1.8 Hz, 1H), 7.38-7.36 (m, 1H), 7.30-7.27 (m, 2H), 7.25-7.23 (m, 1H), 7.17-7.12 (m, 3H), 2.83 (s, 3H), 2.11 (d, *J* = 15.0 Hz, 1H), 1.91 (d, *J* = 15.0 Hz, 1H), 0.98 (d, *J* = 10.8 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ

167.0, 149.5, 140.7, 134.0, 133.4, 131.6, 128.8, 127.8, 125.9, 123.6, 123.1, 83.3, 68.3, 25.0, 24.49, 24.47. HRMS m/z (ESI+): Calculated for $C_{22}H_{26}BCINO_3^+$ ($[M+H]^+$): 398.1689, found 398.1687.



Signal 5: DAD1 E, Sig=260,4 Ref=360,100

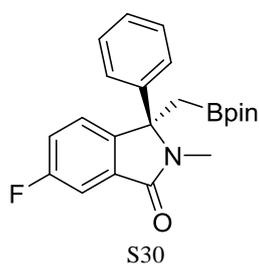
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.004	BB	0.3865	1460.21191	53.82808	48.8177
2	18.821	BB	0.6617	1530.94238	32.73154	51.1823



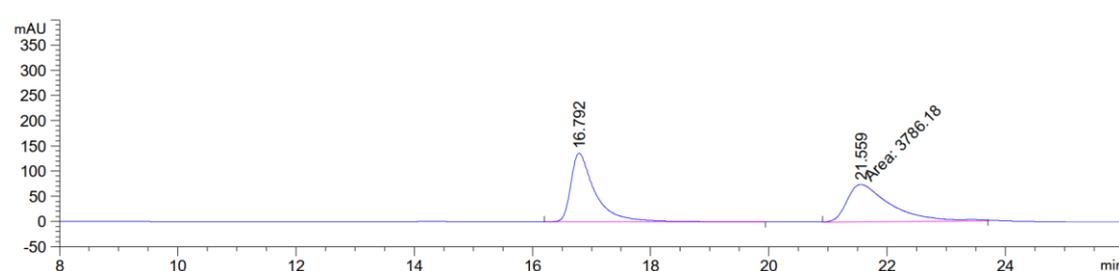
Signal 5: DAD1 E, Sig=260,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.986	BB	0.3908	446.64075	16.04549	5.1316
2	18.678	BB	0.6805	8257.08105	175.62213	94.8684

(R)-6-Fluoro-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2k):

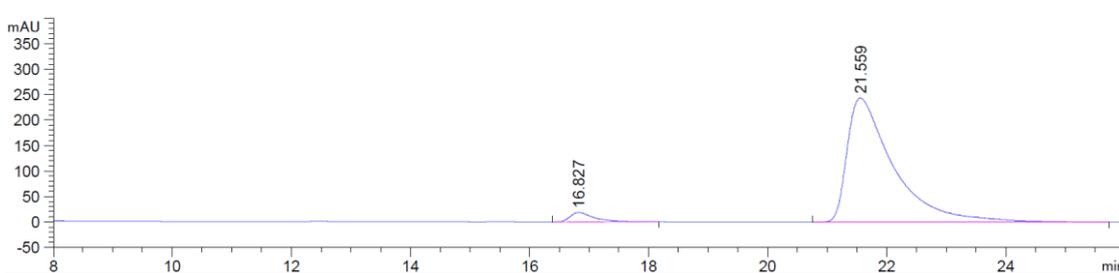


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 124-126 °C; 60% yield; $[\alpha]_D^{20} = -87.60$ (*c* 0.5, CH₂Cl₂), 92% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 16.83$ min, $t_{\text{major}} = 21.56$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.51-7.49 (m, 1H), 7.31-7.28 (m, 2H), 7.24-7.23 (m, 1H), 7.18-7.15 (m, 3H), 7.13-7.09 (m, 1H), 2.84 (s, 3H), 2.12 (d, *J* = 15.0 Hz, 1H), 1.92 (d, *J* = 15.0 Hz, 1H), 0.97 (d, *J* = 10.8 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 167.3, 162.7 (d, *J* = 244.5 Hz), 146.8 (d, *J* = 1.5 Hz), 141.0, 133.7 (d, *J* = 7.5 Hz), 128.8, 127.8, 125.9, 123.9 (d, *J* = 7.5 Hz), 118.9 (d, *J* = 22.5 Hz), 109.7 (d, *J* = 22.5 Hz), 83.3, 68.2, 25.1, 24.6, 24.5. ¹⁹F NMR (377 MHz, CDCl₃): δ -113.8. HRMS *m/z* (ESI⁺): Calculated for C₂₂H₂₆BFNO₃⁺ ([M+H]⁺): 382.1984, found 382.1982.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

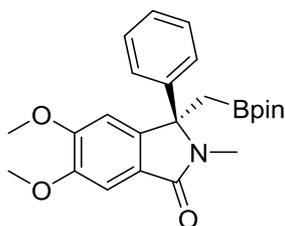
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.792	BB	0.4079	3869.54419	136.01913	50.5444
2	21.559	MM	0.8480	3786.18359	74.41669	49.4556



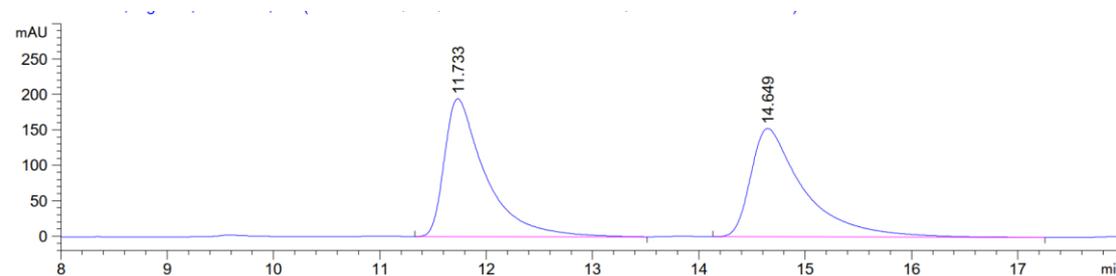
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.827	BB	0.4156	530.65662	18.55925	3.8634
2	21.559	BB	0.7772	1.32049e4	244.08205	96.1366

(R)-5,6-diMethoxy-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2l):

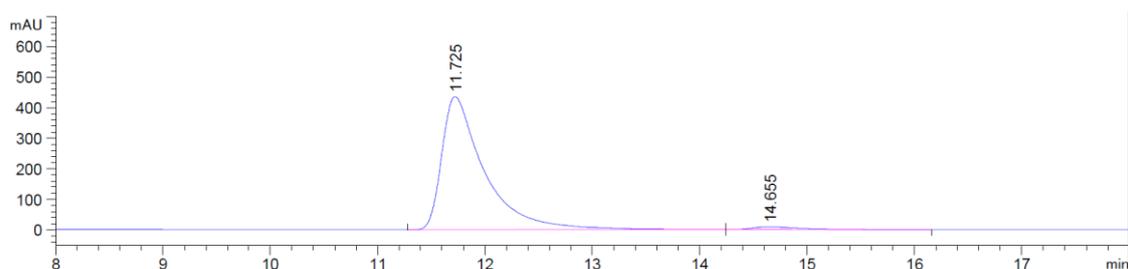


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:3 (v/v); white solid; Mp = 138-140 °C; 87% yield; $[\alpha]_D^{23} = -59.10$ (*c* 0.5, CH₂Cl₂), 95% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 90/10, 0.7 mL/min, 230 nm; $t_{\text{major}} = 11.73$ min, $t_{\text{minor}} = 14.66$ min]; ¹H NMR (600 MHz, CDCl₃): δ 7.30-7.27 (m, 2H), 7.26 (s, 1H), 7.24-7.23 (m, 1H), 7.17-7.15 (m, 2H), 6.68 (s, 1H), 3.92 (s, 3H), 3.81 (s, 3H), 2.80 (s, 3H), 2.08 (d, *J* = 15.0 Hz, 1H), 1.85 (d, *J* = 14.4 Hz, 1H), 0.98 (d, *J* = 9.6 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.4, 152.5, 149.5, 144.9, 141.4, 128.6, 127.5, 126.0, 123.9, 104.64, 104.56, 83.1, 67.9, 56.2, 56.1, 24.8, 24.5, 24.4. HRMS *m/z* (ESI⁺): Calculated for C₂₄H₃₁BNO₅⁺ ([M+H]⁺): 424.2290, found 424.2287.



Signal 4: DAD1 D, Sig=230,4 Ref=360,100

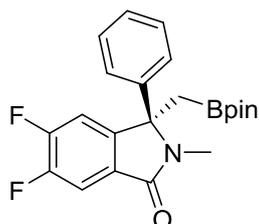
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.733	BB	0.3859	5231.38135	194.44115	49.6589
2	14.649	BB	0.4948	5303.25586	152.85544	50.3411



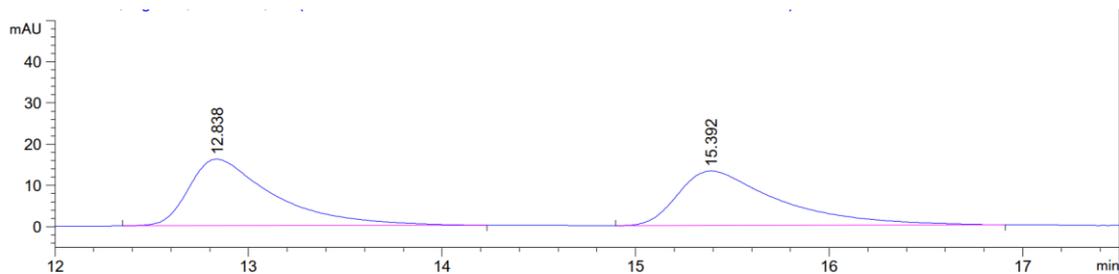
Signal 4: DAD1 D, Sig=230,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	11.725	BB	0.3953	1.20898e4	436.21573	97.5520
2	14.655	BB	0.4657	303.38428	9.22412	2.4480

***(R)*-5,6-diFluoro-2-methyl-3-phenyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2m):**

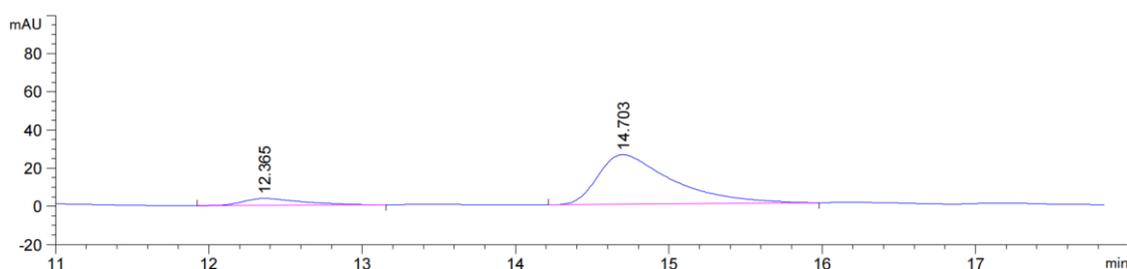


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil; 23% yield; $[\alpha]_D^{25} = -57.98$ (c 0.5, CH_2Cl_2), 80% ee [Daicel Chiralcel AD-H column (25 cm \times 0.46 cm ID), n -hexane/ i -PrOH = 95/05, 0.7 mL/min, 280 nm; $t_{\text{minor}} = 12.37$ min, $t_{\text{major}} = 14.70$ min]; ^1H NMR (400 MHz, CDCl_3): δ 7.65-7.61 (m, 1H), 7.35-7.32 (m, 1H), 7.31-7.28 (m, 2H), 7.18-7.15 (m, 2H), 7.05-7.01 (m, 1H), 2.84 (s, 3H), 2.11 (d, $J = 14.8$ Hz, 1H), 1.91 (d, $J = 14.8$ Hz, 1H), 1.02 (d, $J = 4.8$ Hz, 12H). ^{13}C NMR (125 MHz, CDCl_3): δ 166.6, 154.0 (d, $J = 13.75$ Hz), 151.9 (dd, $J = 23.75$ Hz, 13.75 Hz), 149.8 (d, $J = 13.75$ Hz), 147.6 (dd, $J = 7.5$ Hz, 3.75 Hz), 140.3, 128.9, 128.0, 127.8 (dd, $J = 7.5$ Hz, 2.5 Hz), 125.8, 111.7 (t, $J = 17.5$ Hz), 83.4, 68.2, 25.1, 24.51, 24.48. ^{19}F NMR (377 MHz, CDCl_3): δ -130.7 (d, $J = 18.85$ Hz), -137.0 (d, $J = 22.62$ Hz). HRMS m/z (ESI $^+$): Calculated for $\text{C}_{22}\text{H}_{25}\text{BF}_2\text{NO}_3^+$ ($[\text{M}+\text{H}]^+$): 400.1890, found 400.1890.



Signal 7: DAD1 G, Sig=280,4 Ref=360,100

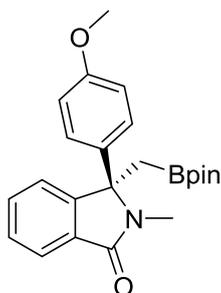
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.838	BB	0.4336	489.07779	16.13838	50.5583
2	15.392	BB	0.5133	478.27713	13.24425	49.4417



Signal 7: DAD1 G, Sig=280,4 Ref=360,100

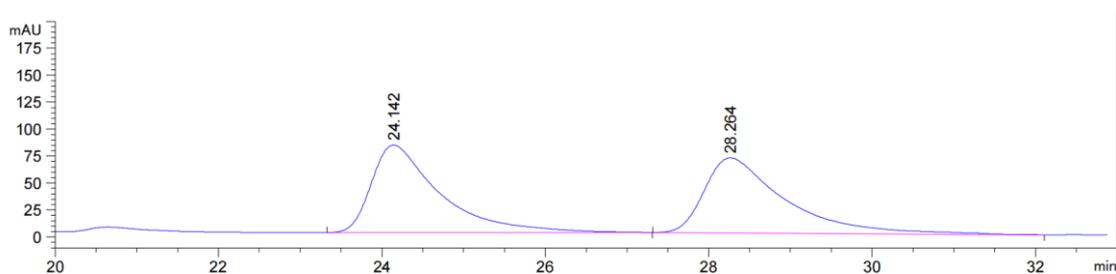
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	12.365	BB	0.3724	93.97633	3.55544	9.6885
2	14.703	BB	0.4975	875.99823	25.97378	90.3115

(R)-3-(4-Methoxyphenyl)-2-methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-methyl)isoindolin-1-one (2n):



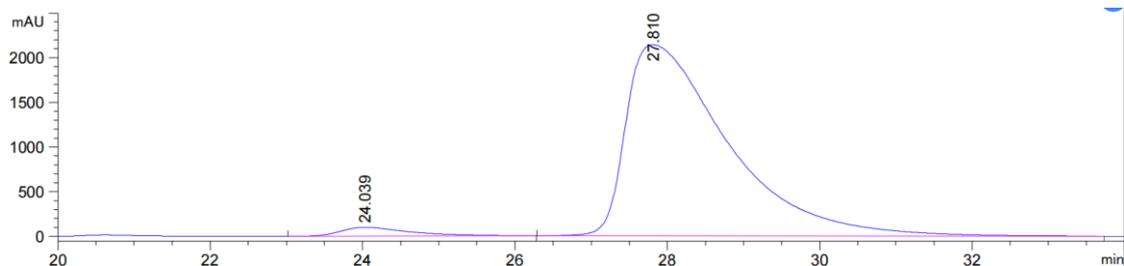
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:3 (v/v); yellow oil; 50% yield; $[\alpha]_D^{20} = -65.50$ (*c* 0.5, CH₂Cl₂),

94% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 210 nm; $t_{\text{minor}} = 24.04$ min, $t_{\text{major}} = 27.81$ min]; ^1H NMR (400 MHz, CDCl_3): δ 7.84-7.81 (m, 1H), 7.44-7.36 (m, 2H), 7.20-7.18 (m, 1H), 7.12-7.08 (m, 2H), 6.82-6.78 (m, 2H), 3.76 (s, 3H), 2.84 (s, 3H), 2.10 (d, $J = 14.8$ Hz, 1H), 1.91 (d, $J = 14.8$ Hz, 1H), 0.94 (d, $J = 11.6$ Hz, 12H). ^{13}C NMR (150 MHz, CDCl_3): δ 168.3, 159.0, 151.5, 133.2, 131.5, 127.7, 127.3, 123.0, 122.1, 113.9, 83.2, 68.0, 55.2, 24.8, 24.7, 24.48, 24.45. HRMS m/z (ESI+): Calculated for $\text{C}_{23}\text{H}_{29}\text{BNO}_4^+$ ($[\text{M}+\text{H}]^+$): 394.2184, found 394.2178.



Signal 2: DAD1 B, Sig=210,4 Ref=360,100

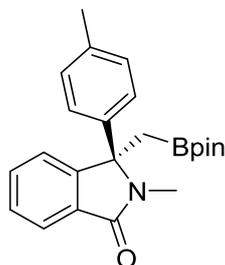
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	24.142	BB	0.8271	4693.48145	81.10579	49.7565
2	28.264	BB	0.9668	4739.41162	69.56780	50.2435



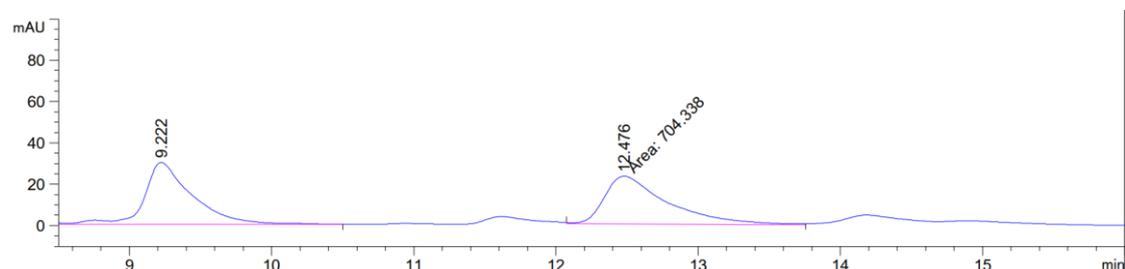
Signal 2: DAD1 B, Sig=210,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	24.039	BB	0.9087	6263.07080	98.91344	3.0560
2	27.810	BB	1.0965	1.98683e5	2141.39453	96.9440

(R)-2-Methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)-3-(p-tolyl)isoindolin-1-one (2o):

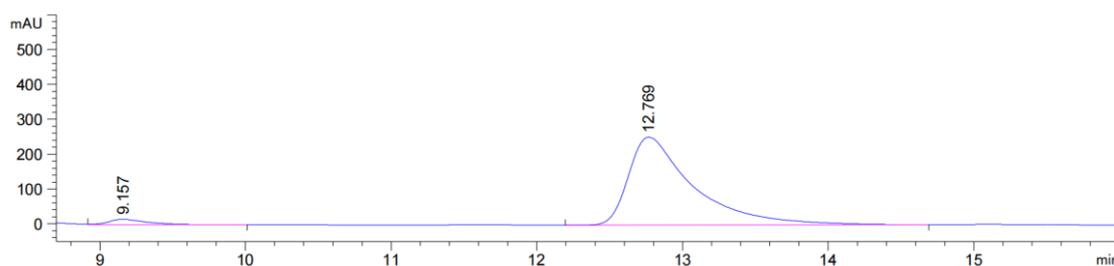


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 122-124 °C; 68% yield; $[\alpha]_D^{20} = -69.60$ (*c* 0.5, CH₂Cl₂), 93% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 90/10, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 9.16$ min, $t_{\text{major}} = 12.78$ min]; ¹H NMR (400 MHz, CDCl₃): δ 7.82 (d, *J* = 7.6 Hz, 1H), 7.42-7.34 (m, 2H), 7.19-7.17 (m, 1H), 7.10-7.05 (m, 4H), 2.84 (s, 3H), 2.28 (s, 3H), 2.12 (d, *J* = 14.8 Hz, 1H), 1.90 (d, *J* = 14.4 Hz, 1H), 0.93 (d, *J* = 12.0 Hz, 12H). ¹³C NMR (100 MHz, CDCl₃): δ 168.4, 151.3, 138.2, 137.3, 131.5, 131.4, 129.3, 127.7, 125.8, 123.0, 122.1, 83.1, 68.2, 24.8, 24.43, 24.40, 20.9. HRMS *m/z* (ESI⁺): Calculated for C₂₃H₂₉BNO₃⁺ ([M+H]⁺): 378.2235, found 378.2233.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

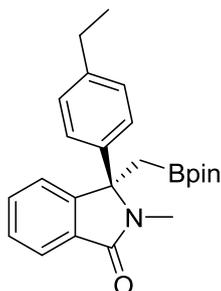
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.222	VB R	0.3217	725.10083	29.97994	50.7262
2	12.476	MM	0.5097	704.33844	23.03065	49.2738



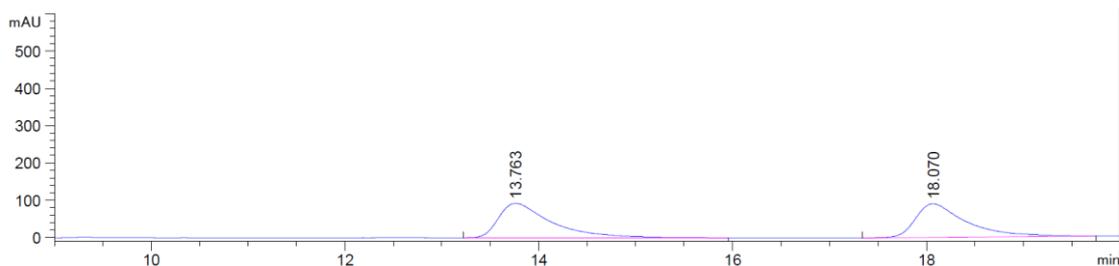
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.157	VB	0.2631	297.92181	16.01150	3.6891
2	12.769	BB	0.4399	7777.83936	252.15144	96.3109

(R)-3-(4-Ethylphenyl)-2-methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2p):

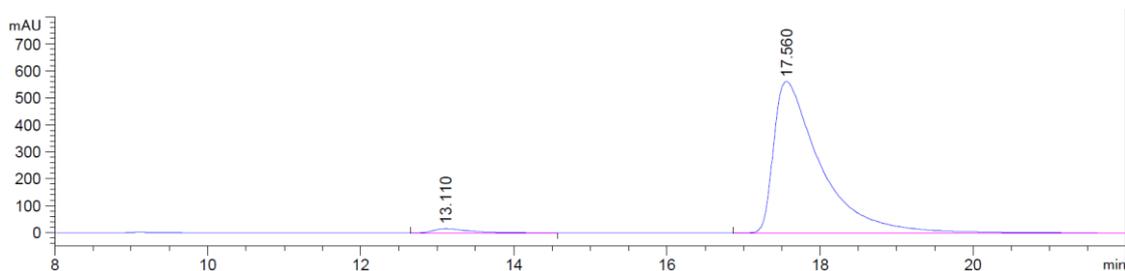


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil; 69% yield; $[\alpha]_D^{20} = -79.20$ (*c* 0.5, CH₂Cl₂), 96% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 13.11$ min, $t_{\text{major}} = 17.56$ min]; ¹H NMR (500 MHz, CDCl₃): δ 7.83-7.81 (m, 1H), 7.42-7.35 (m, 2H), 7.21-7.19 (m, 1H), 7.12-7.08 (m, 4H), 2.85 (s, 3H), 2.59 (q, *J* = 7.5 Hz, 2H), 2.13 (d, *J* = 14.5 Hz, 1H), 1.91 (d, *J* = 14.5 Hz, 1H), 1.19 (t, *J* = 7.5 Hz, 3H), 0.94 (d, *J* = 15.0 Hz, 12H). ¹³C NMR (125 MHz, CDCl₃): δ 168.4, 151.4, 143.6, 138.6, 131.54, 131.50, 128.1, 127.7, 125.9, 123.0, 122.2, 83.2, 68.3, 28.2, 24.9, 24.48, 24.45, 15.2. HRMS *m/z* (ESI⁺): Calculated for C₂₄H₃₁BNO₃⁺ ([M+H]⁺): 392.2392, found 392.2390.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

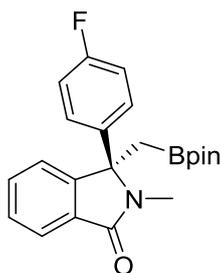
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.763	BB	0.5526	3525.19458	92.50563	51.5186
2	18.070	BB	0.5376	3317.36646	90.09976	48.4814



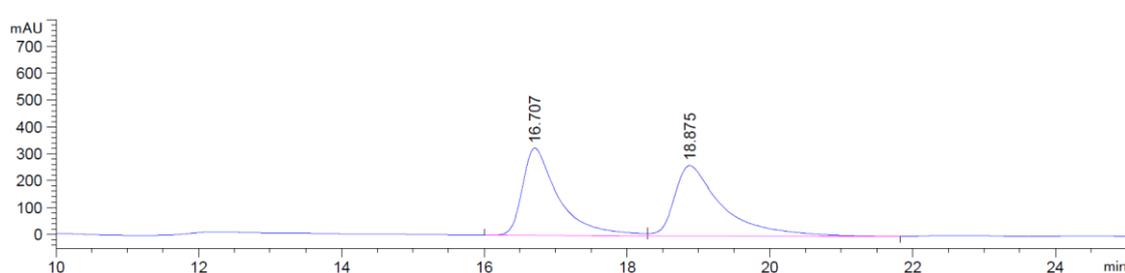
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.110	BB	0.4993	522.85785	15.20172	2.1413
2	17.560	BBA	0.6096	2.38947e4	562.51538	97.8587

(R)-3-(4-Fluorophenyl)-2-methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2q):

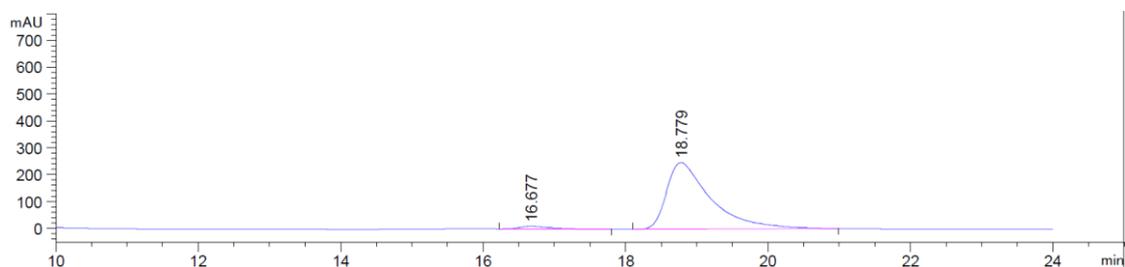


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 118-120 °C; 57% yield; $[\alpha]_D^{20} = -64.85$ (*c* 0.5, CH₂Cl₂), 95% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 230 nm; $t_{\text{minor}} = 16.68$ min, $t_{\text{major}} = 18.78$ min]; ¹H NMR (500 MHz, CDCl₃): δ 7.84-7.82 (m, 1H), 7.45-7.38 (m, 2H), 7.19-7.14 (m, 3H), 6.98-6.94 (m, 2H), 2.84 (s, 3H), 2.10 (d, *J* = 15.0 Hz, 1H), 1.90 (d, *J* = 14.5 Hz, 1H), 0.94 (d, *J* = 13.5 Hz, 12H). ¹³C NMR (125 MHz, CDCl₃): δ 168.3, 162.1 (d, *J* = 245.0 Hz), 151.1, 137.2 (d, *J* = 2.5 Hz), 131.7, 131.5, 127.94 (d, *J* = 7.5 Hz), 127.85, 123.2, 122.1, 115.5 (d, *J* = 21.25 Hz), 83.3, 67.9, 24.7, 24.48, 24.45. ¹⁹F NMR (377 MHz, CDCl₃): δ -114.8. HRMS *m/z* (ESI+): Calculated for C₂₂H₂₆BFNO₃⁺ ([M+H]⁺): 382.1984, found 382.1983.



Signal 4: DAD1 D, Sig=230,4 Ref=360,100

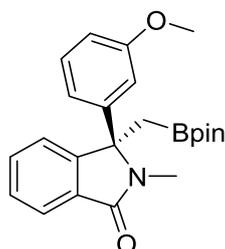
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.707	BV	0.5061	5956.72070	168.65538	49.7242
2	18.875	MM	0.7488	6022.79004	134.04921	50.2758



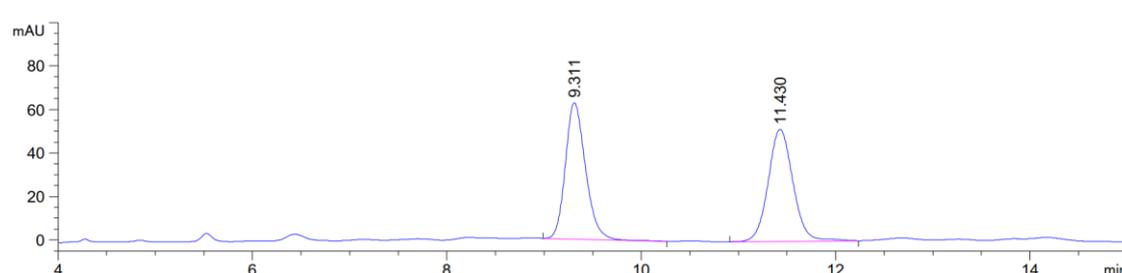
Signal 4: DAD1 D, Sig=230,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	16.677	BB	0.4187	294.68369	10.15141	2.6923
2	18.779	BB	0.6198	1.06509e4	247.60484	97.3077

(R)-3-(3-Methoxyphenyl)-2-methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-methyl)isoindolin-1-one (2r):

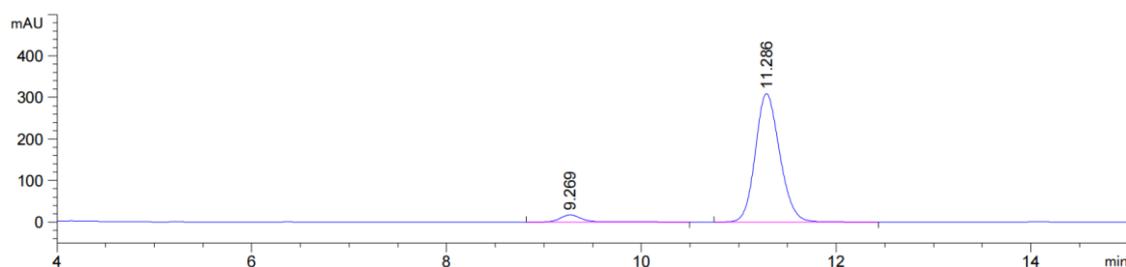


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:3 (v/v); white solid; Mp = 130-132 °C; 77% yield; $[\alpha]_D^{20} = -90.90$ (*c* 0.25, CH₂Cl₂), 92% ee [Phenomenex Lux 5u Cellulose-1 column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 90/10, 0.7 mL/min, 260 nm; $t_{\text{minor}} = 9.27$ min, $t_{\text{major}} = 11.29$ min]; ¹H NMR (500 MHz, CDCl₃): δ 7.83-7.82 (m, 1H), 7.44-7.36 (m, 2H), 7.22-7.19 (m, 2H), 6.80-6.73 (m, 3H), 3.73 (s, 3H), 2.87 (s, 3H), 2.12 (d, *J* = 14.5 Hz, 1H), 1.91 (d, *J* = 14.5 Hz, 1H), 0.94 (d, *J* = 15.0 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.5, 159.9, 151.1, 143.2, 131.6, 131.5, 129.7, 127.8, 123.1, 122.1, 118.3, 112.5, 112.3, 83.2, 68.4, 55.2, 25.0, 24.49, 24.46. HRMS *m/z* (ESI+): Calculated for C₂₃H₂₉BNO₄⁺ ([M+H]⁺): 394.2184, found 394.2184.



Signal 5: DAD1 E, Sig=260,4 Ref=360,100

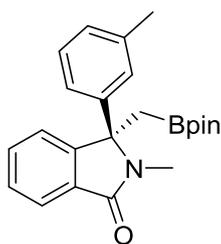
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.311	BB	0.2197	901.81281	62.72477	49.7081
2	11.430	BB	0.2727	912.40564	51.48935	50.2919



Signal 5: DAD1 E, Sig=260,4 Ref=360,100

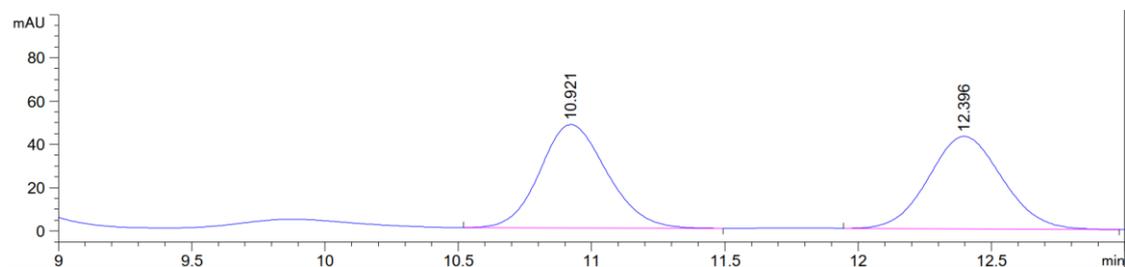
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.269	BB	0.2175	223.21727	15.93195	4.1634
2	11.286	BB	0.2693	5138.22656	294.83466	95.8366

(R)-2-Methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)-3-(*m*-tolyl)isoindolin-1-one (2s):



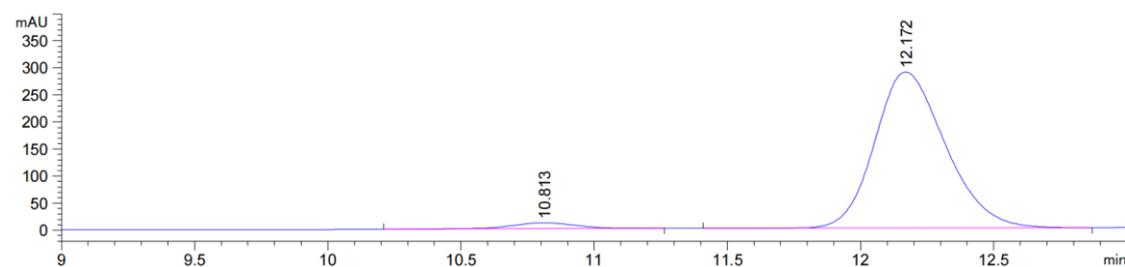
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 120-122 °C; 77% yield; $[\alpha]_D^{20} = -100.10$ (*c* 0.5, CH₂Cl₂), 93% ee [Phenomenex Lux 5u Cellulose-1 column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 260 nm; $t_{\text{minor}} = 10.81$ min, $t_{\text{major}} = 12.17$ min]; ¹H NMR (500 MHz, CDCl₃): δ 7.84-7.82 (m, 1H), 7.43-7.36 (m, 2H), 7.21-7.17 (m, 2H), 7.06-7.04 (m, 2H), 6.94-6.93 (m, 1H), 2.86 (s, 3H), 2.26 (s, 3H), 2.14 (d, *J* = 14.5 Hz, 1H), 1.91 (d, *J* = 14.5 Hz, 1H), 0.94 (d, *J* = 15.0 Hz, 12H). ¹³C NMR (150

MHz, CDCl₃): δ 168.5, 151.3, 141.3, 138.4, 131.54, 131.49, 128.6, 128.4, 127.7, 126.5, 123.1, 123.0, 122.2, 83.2, 68.4, 24.9, 24.48, 24.45, 21.5. HRMS *m/z* (ESI⁺): Calculated for C₂₃H₂₉BNO₃⁺ ([M+H]⁺): 378.2235, found 378.2234.



Signal 5: DAD1 E, Sig=260,4 Ref=360,100

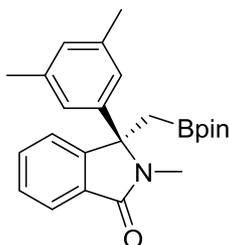
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.921	BB	0.2700	834.54108	47.73183	50.3805
2	12.396	BB	0.2983	821.93396	42.74848	49.6195



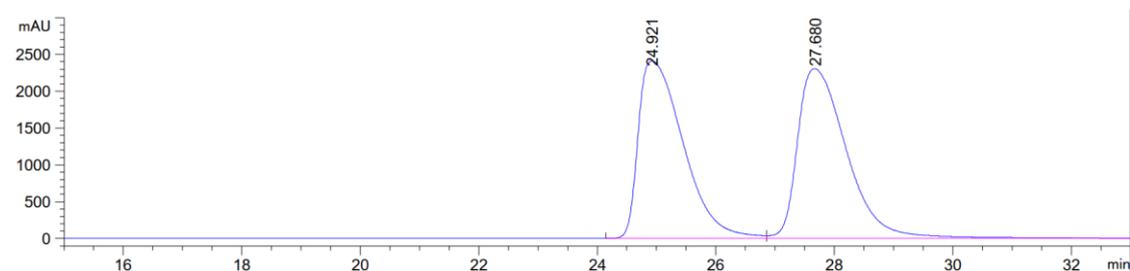
Signal 5: DAD1 E, Sig=260,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	10.813	BB	0.2629	193.31874	11.22603	3.4936
2	12.172	BB	0.2839	5340.16895	288.61429	96.5064

(R)-3-(3,5-diMethylphenyl)-2-methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)m-ethyl)isoindolin-1-one (2t):

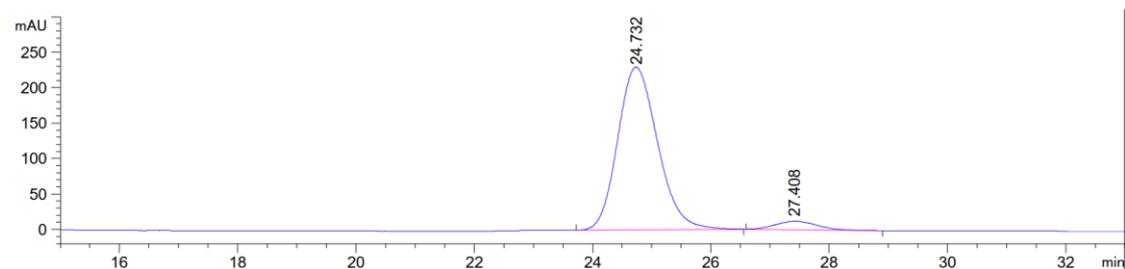


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 120-121 °C; 71% yield; $[\alpha]_D^{22} = -83.75$ (*c* 0.5, CH₂Cl₂), 90% ee [Phenomenex Lux 5u Cellulose-2 column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 97/03, 0.7 mL/min, 230 nm; $t_{\text{major}} = 24.73$ min, $t_{\text{minor}} = 27.41$ min]; ¹H NMR (400 MHz, CDCl₃): δ 7.83-7.81 (m, 1H), 7.43-7.34 (m, 2H), 7.21-7.19 (m, 1H), 6.85-6.79 (m, 3H), 2.85 (s, 3H), 2.22 (s, 6H), 2.13 (d, *J* = 14.8 Hz, 1H), 1.88 (d, *J* = 14.8 Hz, 1H), 0.93 (d, *J* = 11.2 Hz, 12H). ¹³C NMR (125 MHz, CDCl₃): δ 168.6, 151.4, 141.2, 138.2, 131.50, 131.48, 129.3, 127.7, 123.7, 123.0, 122.1, 83.1, 68.4, 24.9, 24.5, 24.4, 21.4. HRMS *m/z* (ESI⁺): Calculated for C₂₄H₃₁BNO₃⁺ ([M+H]⁺): 392.2392, found 392.2389.



Signal 4: DAD1 D, Sig=230,4 Ref=360,100

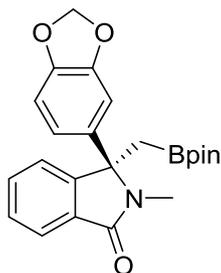
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	24.921	BV	0.6249	1.26691e5	2411.50269	48.9256
2	27.680	VB	0.6836	1.32256e5	2304.31201	51.0744



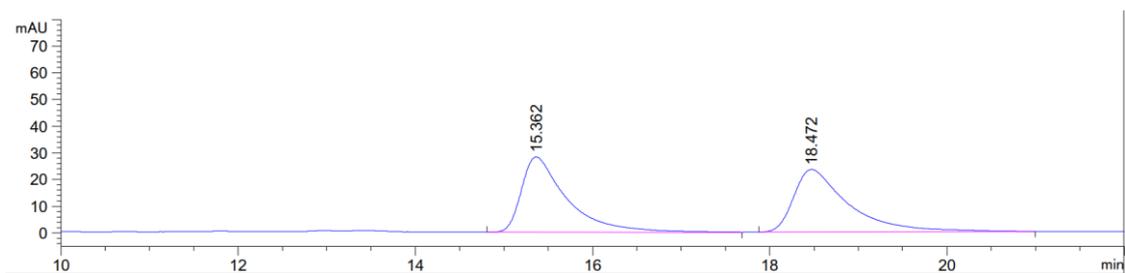
Signal 4: DAD1 D, Sig=230,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	24.732	BB	0.7185	1.07554e4	229.61444	94.9796
2	27.408	BB	0.5758	568.50330	11.72195	5.0204

(R)-3-(Benzo[d][1,3]dioxol-5-yl)-2-methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)isoindolin-1-one (2u):

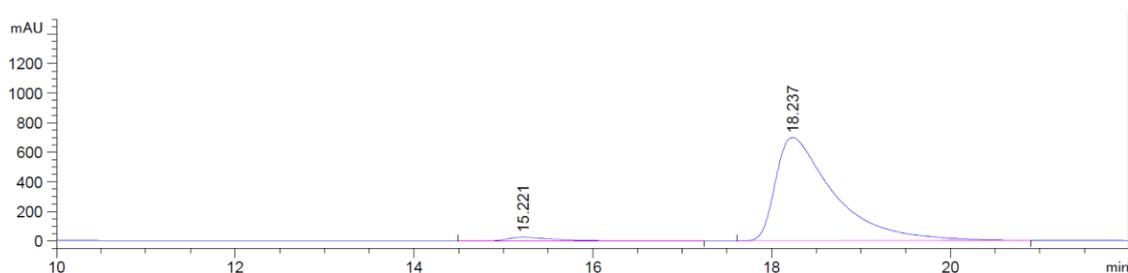


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:3 (v/v); white solid; Mp = 55-57 °C; 42% yield; $[\alpha]_D^{22} = -59.65$ (*c* 0.5, CH₂Cl₂), 94% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 90/10, 0.7 mL/min, 254 nm; $t_{\text{minor}} = 15.22$ min, $t_{\text{major}} = 18.24$ min]; ¹H NMR (400 MHz, CDCl₃): δ 7.83-7.80 (m, 1H), 7.44-7.36 (m, 2H), 7.20-7.18 (m, 1H), 6.79-6.71 (m, 2H), 6.51 (d, *J* = 1.6 Hz, 1H), 5.90 (dd, *J* = 10.0 Hz, 1.6 Hz, 2H), 2.84 (s, 3H), 2.05 (d, *J* = 14.8 Hz, 1H), 1.87 (d, *J* = 14.4 Hz, 1H), 0.93 (d, *J* = 12.0 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.3, 151.3, 148.1, 147.1, 135.4, 131.6, 131.5, 127.8, 123.1, 122.1, 119.5, 108.0, 106.7, 101.2, 83.2, 68.2, 24.8, 24.48, 24.46. HRMS *m/z* (ESI⁺): Calculated for C₂₃H₂₇BNO₅⁺ ([M+H]⁺): 408.1977, found 408.1974.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

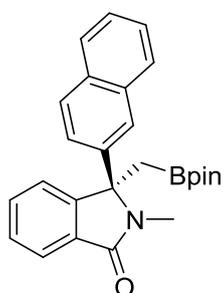
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.362	BB	0.5134	1004.09283	28.20360	49.9682
2	18.472	BB	0.5982	1005.37036	23.44697	50.0318



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

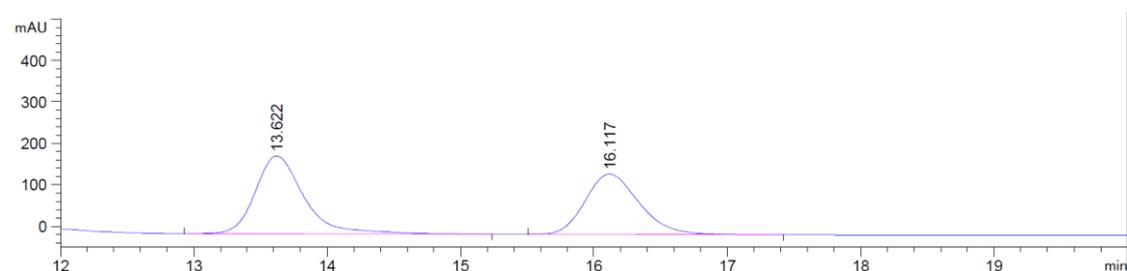
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	15.221	BB	0.5121	937.08417	25.89957	2.8779
2	18.237	BB	0.6549	3.16243e4	700.27313	97.1221

(R)-2-Methyl-3-(naphthalen-2-yl)-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)-methyl)isoindolin-1-one (2v):



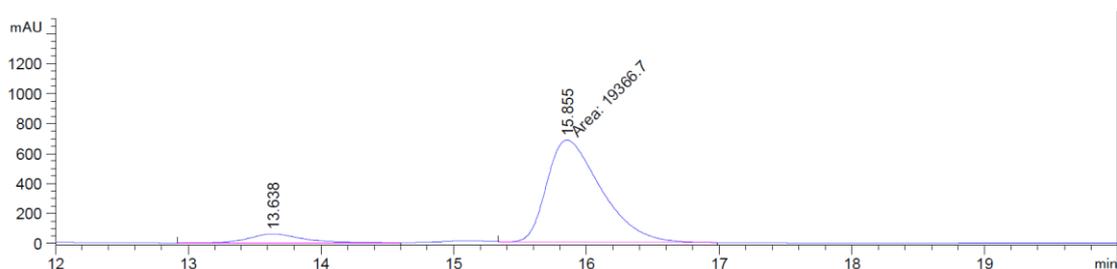
Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); white solid; Mp = 168-170 °C; 64% yield; $[\alpha]_D^{20} = -$

105.25 (*c* 0.5, CH₂Cl₂), 84% ee [Daicel Chiralcel OD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 254 nm; *t*_{minor} = 13.64 min, *t*_{major} = 15.86 min]; ¹H NMR (600 MHz, CDCl₃): δ 7.93-7.92 (m, 1H), 7.90-7.87 (m, 1H), 7.84-7.83 (m, 1H), 7.77-7.76 (m, 1H), 7.68-7.67 (m, 1H), 7.51-7.45 (m, 2H), 7.43-7.39 (m, 2H), 7.23-7.20 (m, 1H), 6.99-6.97 (m, 1H), 2.88 (s, 3H), 2.28 (d, *J* = 14.4 Hz, 1H), 2.07 (d, *J* = 14.4 Hz, 1H), 0.97 (d, *J* = 15.6 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.5, 151.0, 138.8, 133.1, 132.6, 131.7, 131.6, 128.6, 128.1, 127.9, 127.4, 126.4, 126.3, 125.2, 124.0, 123.1, 122.3, 83.2, 68.4, 24.9, 24.50, 24.46. HRMS *m/z* (ESI⁺): Calculated for C₂₆H₂₉BNO₃⁺ ([M+H]⁺): 414.2235, found 414.2228.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

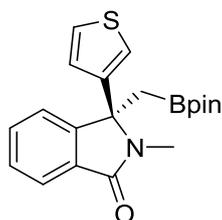
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.622	MM	0.3937	1097.35022	46.45300	51.8977
2	16.117	BB	0.4286	1017.10046	36.11074	48.1023



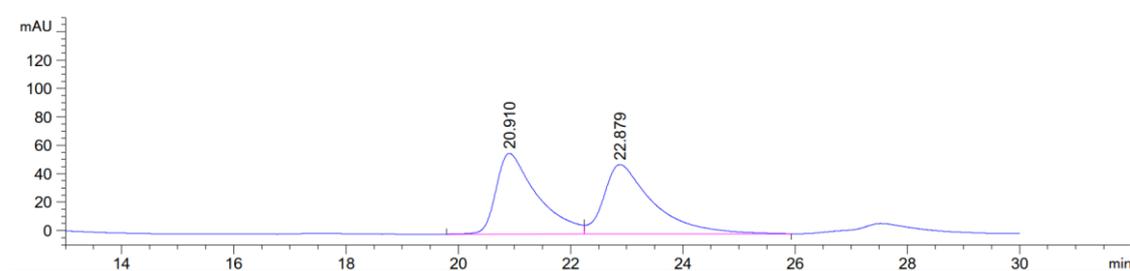
Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.638	BB	0.4175	1675.26428	59.67692	7.9616
2	15.855	MM	0.4739	1.93667e4	681.09363	92.0384

(R)-2-Methyl-3-((4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)methyl)-3-(thiophen-3-yl)-isoindolin-1-one (2w):

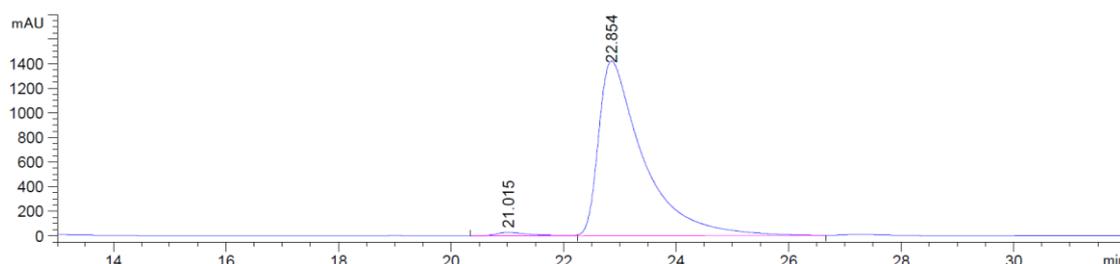


Purified by column chromatography on silica gel, eluting with ethyl acetate/petroleum ether 1:5 (v/v); yellow oil; 65% yield; $[\alpha]_{\text{D}}^{20} = -62.90$ (*c* 0.25, CH₂Cl₂), 97% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 230 nm; $t_{\text{minor}} = 21.02$ min, $t_{\text{major}} = 22.85$ min]; ¹H NMR (600 MHz, CDCl₃): 7.83 (d, *J* = 7.8 Hz, 1H), 7.47-7.39 (m, 2H), 7.28-7.26 (m, 2H), 7.20-7.18 (m, 1H), 6.55-6.54 (m, 1H), 2.89 (s, 3H), 2.09 (d, *J* = 15.0 Hz, 1H), 1.96 (d, *J* = 15.0 Hz, 1H), 0.94 (d, *J* = 9.6 Hz, 12H). ¹³C NMR (150 MHz, CDCl₃): δ 168.0, 150.2, 143.8, 131.53, 131.52, 128.0, 126.5, 126.0, 123.1, 122.2, 122.0, 83.2, 66.3, 24.8, 24.5, 24.4. HRMS *m/z* (ESI⁺): Calculated for C₂₀H₂₅BNO₃S⁺ ([M+H]⁺): 370.1643, found 370.1643.



Signal 4: DAD1 D, Sig=230,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	20.910	BV	0.7183	2882.70972	56.78339	49.3059
2	22.879	VB	0.8440	2963.87231	48.84163	50.6941

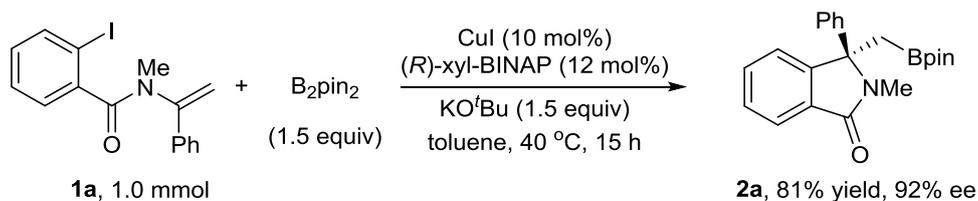


Signal 4: DAD1 D, Sig=230,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	21.015	BV E	0.6205	1173.49683	27.35612	1.4790
2	22.854	VB R	0.7843	7.81727e4	1419.88440	98.5210

6. Scale-up experiment and synthetic transformations

6.1 Scale-up experiment

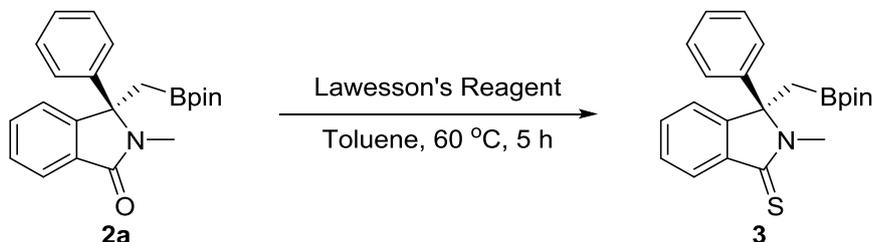


In an N_2 -filled glovebox, to an oven-dried Schlenk tube equipped with a stir bar was charged with CuI (19 mg), (R) -xyl-BINAP (88 mg), and KO^tBu (168 mg). The tube was sealed with cap and removed from the glovebox. Toluene (10 mL) was then introduced via syringe. The mixture was stirred at 40 °C for 1 h. Then B_2pin_2 (381 mg) and **1a** (363 mg) were added into the mixture and warmed to 40 °C. The reaction was stirred at 40 °C for 15 h. When the reaction was completed, the mixture was extracted with EA. The combined organic phases were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel

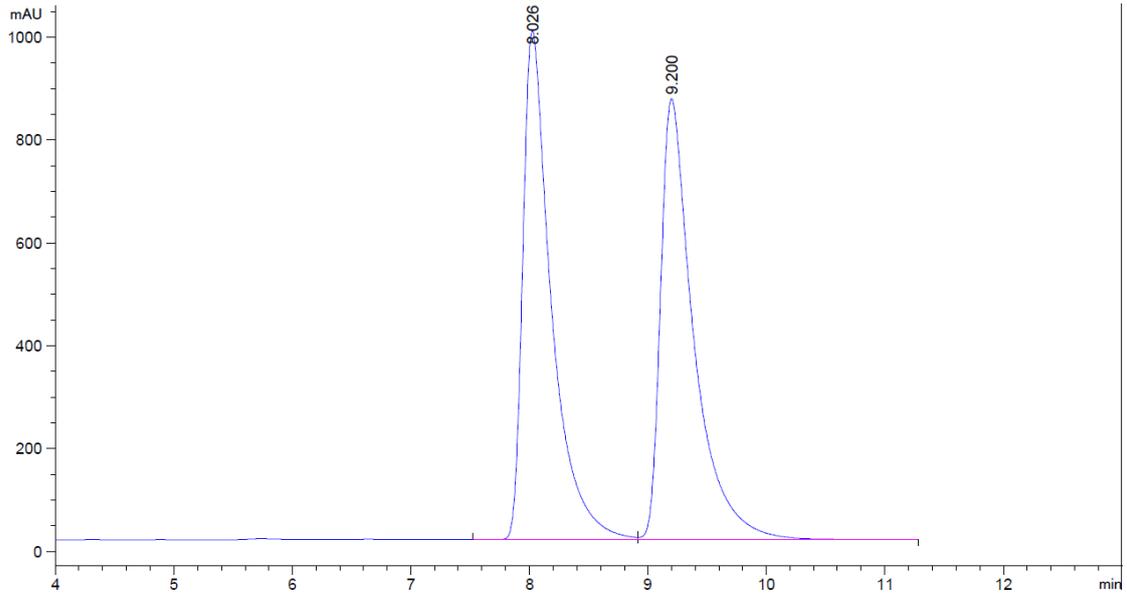
eluenting with petroleum ether/EtOAc (5:1) to afford the products **2a** with 81% yield and in 92% ee.

6.2 Synthetic transformations

Vulcanization of **2a**^[3]:

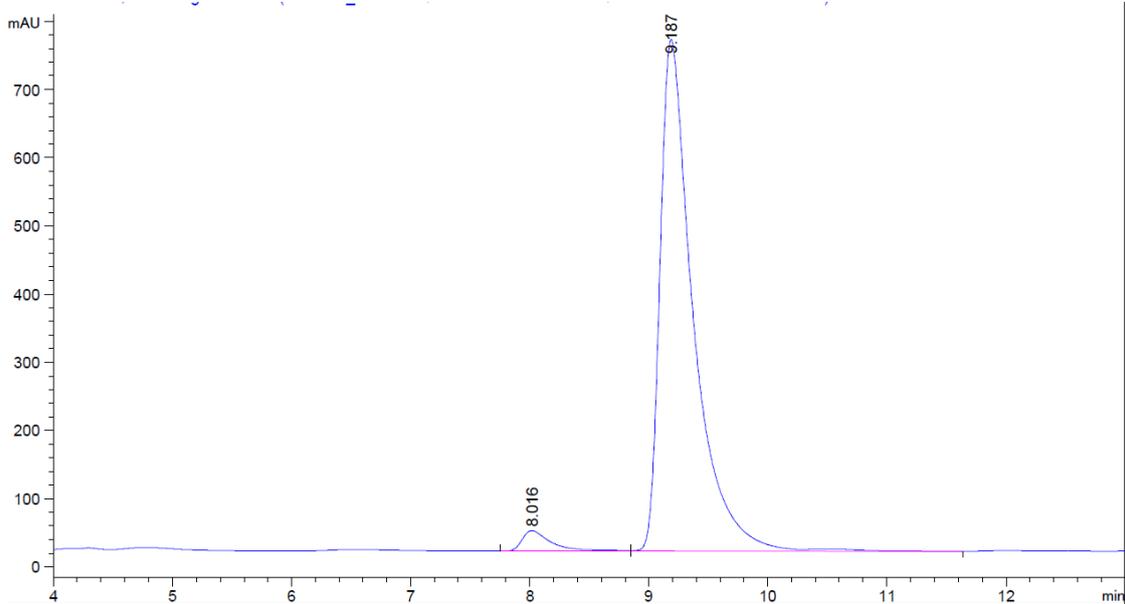


To a Schlenk tube equipped with a stirring bar was charged with **2a** (0.2 mmol, 1.0 equiv.), Lawesson's Reagent (0.2 mmol, 1.0 equiv.), and toluene (2.0 mL) under N₂ atmosphere. The reaction was stirred at 60 °C for 5 hours. When the reaction was completed, the mixture was concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel eluting with petroleum ether/ethyl acetate (v/v = 10:1) to afford the products **3**. Yellow solid; Mp = 138-140 °C; 64% yield; [α]_D²⁷ = -161.25 (*c* 1.0, CH₂Cl₂), 93% ee [Daicel Chiralcel AD-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 95/05, 0.7 mL/min, 254 nm; *t*_{minor} = 8.02 min, *t*_{major} = 9.19 min]; ¹H NMR (500 MHz, CDCl₃): δ 8.07-8.05 (m, 1H), 7.46-7.40 (m, 2H), 7.32-7.26 (m, 3H), 7.20-7.18 (m, 1H), 7.14-7.11 (m, 2H), 3.23 (s, 3H), 2.19 (d, *J* = 14.5 Hz, 1H), 2.00 (d, *J* = 14.5 Hz, 1H), 0.94 (d, *J* = 22.0 Hz, 12H). ¹³C NMR (125 MHz, CDCl₃): δ 192.7, 149.7, 139.9, 138.0, 131.6, 128.9, 128.2, 128.1, 125.8, 125.2, 121.6, 83.4, 76.5, 30.4, 24.50, 24.49. HRMS *m/z* (ESI⁺): Calculated for C₂₂H₂₇BNO₂S⁺ ([M+H]⁺): 380.1850, found 380.1847.



Signal 1: VWD1 A, Wavelength=254 nm

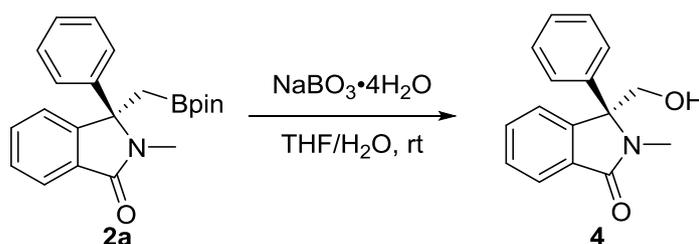
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.026	BV	0.2430	1.65481e4	989.95984	49.8857
2	9.200	VBA	0.2822	1.66239e4	857.41528	50.1143



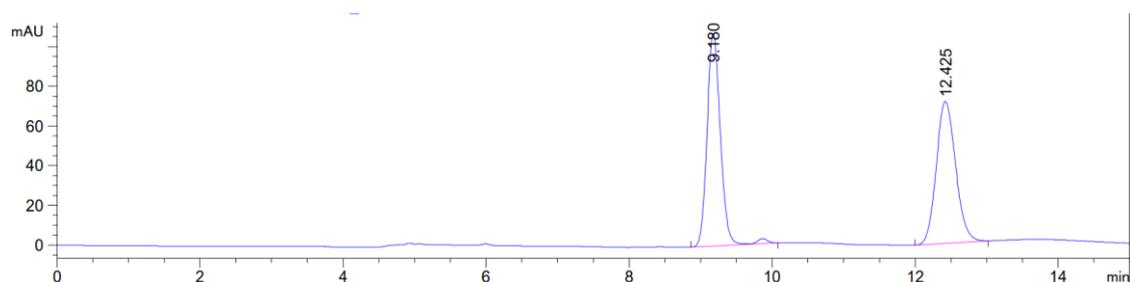
Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.016	BV	0.2504	519.91479	30.12285	3.4421
2	9.187	VV R	0.2814	1.45847e4	750.55206	96.5579

Oxidation of **2a**^[4]:

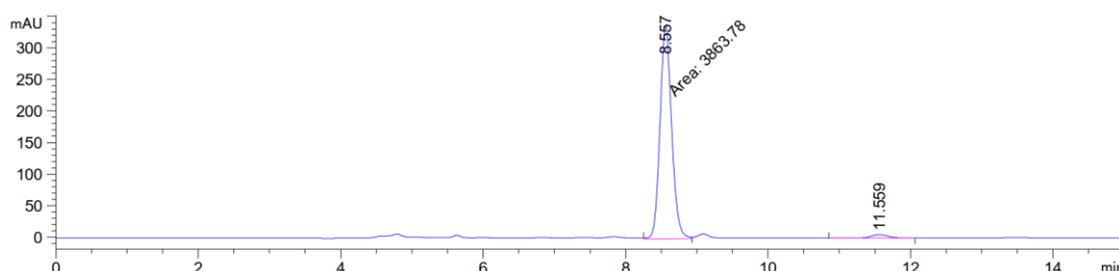


To a Schlenk tube equipped with a stirring bar was charged with **2a** (0.2 mmol, 1.0 equiv.), THF (2.0 mL), and H_2O (2.0 mL). Then $\text{NaBO}_3 \cdot 4\text{H}_2\text{O}$ (0.8 mmol, 4.0 equiv.) was added and stirred at room temperature for 4 hours. When the reaction was completed, the mixture was quenched with water and extracted with EA (3 times). The combined organic phases were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel, eluting with ethyl acetate/petroleum ether (v/v = 1:1) to afford the products **4**. White solid; Mp = 154-155 °C; 85% yield; $[\alpha]_{\text{D}}^{26} = -68.35$ (*c* 0.5, CH_2Cl_2), 95% ee [Daicel Chiralcel OJ-H column (25 cm \times 0.46 cm ID), *n*-hexane/*i*-PrOH = 80/20, 0.7 mL/min, 254 nm; $t_{\text{major}} = 8.56$ min, $t_{\text{minor}} = 11.56$ min]; ^1H NMR (400 MHz, CDCl_3): δ 7.55 (d, $J = 7.6$ Hz, 1H), 7.36 (t, $J = 7.2$ Hz, 1H), 7.28-7.19 (m, 4H), 7.16 (d, $J = 7.6$ Hz, 1H), 7.08-7.06 (m, 2H), 4.47 (d, $J = 12.0$ Hz, 1H), 4.34 (d, $J = 11.6$ Hz, 1H), 3.43 (s, 1H), 2.54 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3): δ 169.5, 148.1, 137.4, 131.8, 131.7, 129.1, 128.3, 128.2, 126.1, 123.5, 122.0, 71.6, 63.3, 25.4. HRMS m/z (ESI⁺): Calculated for $\text{C}_{16}\text{H}_{16}\text{NO}_2^+$ ($[\text{M}+\text{H}]^+$): 254.1176, found 254.1172.



Signal 1: VWD1 A, Wavelength=254 nm

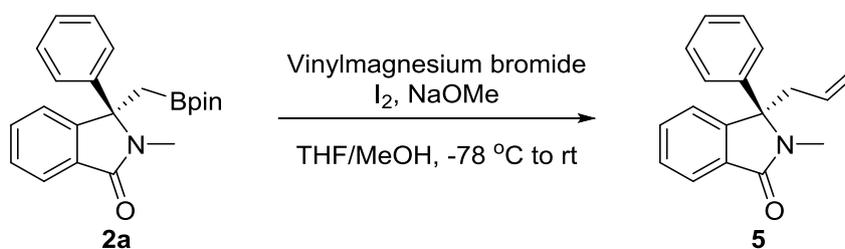
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	9.180	BV R	0.1963	1388.86145	107.19008	50.8508
2	12.425	BB	0.2911	1342.38879	71.49325	49.1492



Signal 1: VWD1 A, Wavelength=254 nm

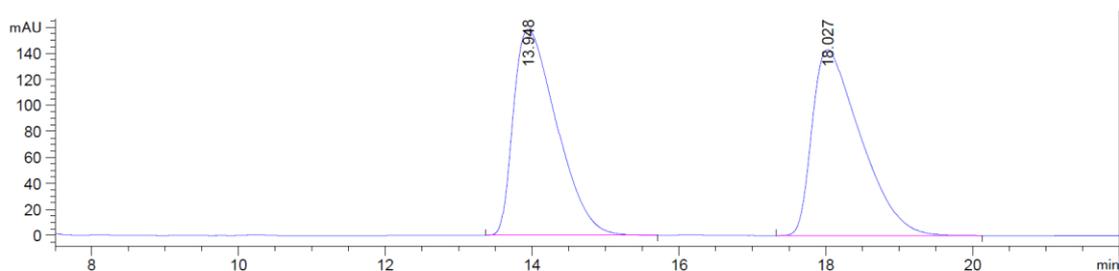
Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	8.557	MM	0.1905	3863.77563	337.96280	97.5333
2	11.559	VB R	0.2621	97.71657	5.75452	2.4667

Vinylation of **2a**^[5]:



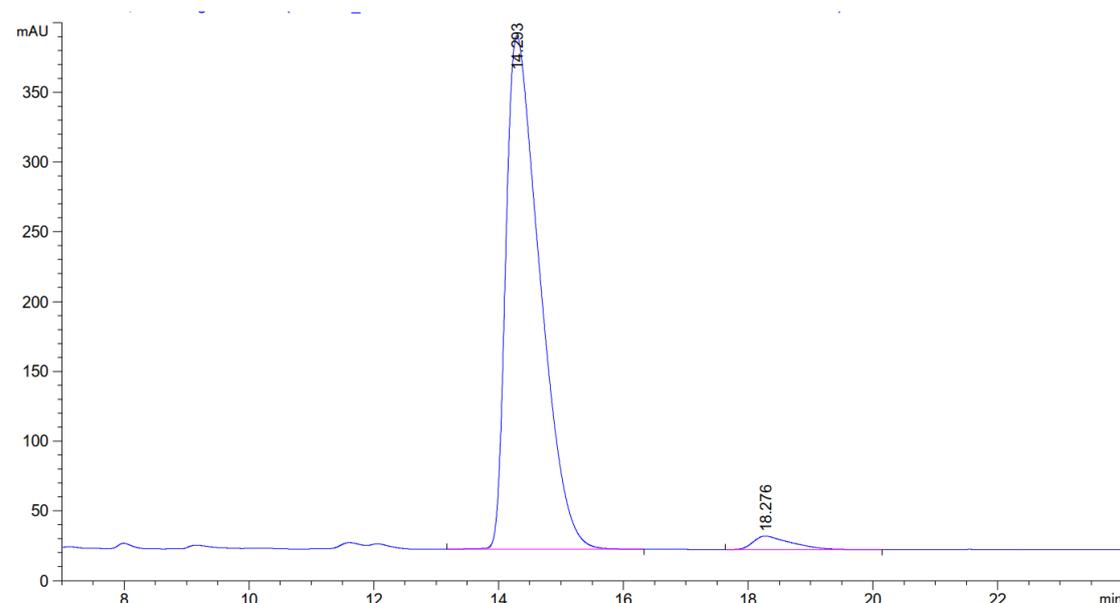
To an oven-dried Schlenk tube equipped with a stirring bar was charged with **2a** and THF (2.0 mL) under N₂ atmosphere. The reaction was stirred at room temperature and vinylmagnesium bromide (0.8 mmol, 1 M in THF, 4.0 equiv.) was added slowly. After 30 min, the reaction was cooled to -78 °C and I₂ (0.8 mmol, 4.0 equiv.) dissolved in methanol (2.0 mL) was added into the mixture. When stirring at -78 °C for 30 min, NaOMe (0.32 mmol, 1.6 equiv.) dissolved in methanol (2.0 mL) was added into the mixture. The reaction was warmed to room temperature and stirred for 2 hours. When the reaction was completed, the mixture was quenched with saturated Na₂S₂O₃ aqueous solution and extracted with Et₂O (3 times). The combined organic phases were dried

over anhydrous Na₂SO₄ and concentrated reduced pressure. The residue was purified by flash chromatography on silica gel, eluting with ethyl acetate/petroleum ether (v/v = 1:5) to afford the products **5**. White solid; Mp = 176-179 °C; 69% yield; $[\alpha]_D^{27} = -172.05$ (*c* 0.5, CH₂Cl₂), 94% ee [Daicel Chiralcel AS-H column (25 cm × 0.46 cm ID), *n*-hexane/*i*-PrOH = 90/10, 0.7 mL/min, 254 nm; *t*_{major} = 14.29 min, *t*_{minor} = 18.28 min]; ¹H NMR (500 MHz, CDCl₃): δ 7.87-7.86 (m, 1H), 7.47-7.39 (m, 2H), 7.35-7.27 (m, 3H), 7.20-7.15 (m, 3H), 5.15-5.07 (m, 1H), 5.03 (dd, *J* = 17.5 Hz, 2.5 Hz, 1H), 4.92 (dd, *J* = 10.0 Hz, 2.0 Hz, 1H), 3.32 (dd, *J* = 14.0 Hz, 5.5 Hz, 1H), 3.12 (dd, *J* = 14.0 Hz, 7.5 Hz, 1H), 2.84 (s, 3H). ¹³C NMR (125 MHz, CDCl₃): δ 168.7, 149.5, 139.7, 131.8, 131.6, 130.8, 128.9, 128.1, 128.0, 126.1, 123.4, 121.9, 119.5, 69.8, 38.2, 25.0. HRMS *m/z* (ESI⁺): Calculated for C₁₈H₁₈NO⁺ ([M+H]⁺): 264.1383, found 264.1380.



Signal 1: DAD1 A, Sig=254,4 Ref=360,100

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	13.948	BB	0.6260	6491.92383	158.43471	49.7608
2	18.027	BB	0.6690	6554.32764	142.92079	50.2392



Signal 1: VWD1 A, Wavelength=254 nm

Peak #	RetTime [min]	Type	Width [min]	Area [mAU*s]	Height [mAU]	Area %
1	14.293	BB	0.5689	1.38762e4	368.03012	97.1333
2	18.276	BB	0.6002	409.53098	9.64785	2.8667

7. Crystal report

The single crystals of **4** (CCDC 2350769) was grown in ethyl acetate by slow evaporation method. A suitable crystal (0.01mm*0.02mm*0.03mm) was selected and mounted on a Bruker D8 Venture diffractometer with MoK α radiation ($\lambda = 0.71073 \text{ \AA}$) (or CuK α radiation ($\lambda = 1.54178 \text{ \AA}$) or CaK α radiation ($\lambda = 1.34139 \text{ \AA}$) for cell determination and subsequent data collection at 169 K. Using Olex2, the structure was solved with the ShelXT structure solution program using Intrinsic Phasing and refined with the ShelXL refinement package using Least Squares minimisation. See below for other details.

The following ALERTS were generated. Each ALERT has the format
test-name_ALERT_alert-type_alert-level.
Click on the hyperlinks for more details of the test.

Alert level C

PLAT911_ALERT_3_C	Missing FCF Refl Between Thmin & STh/L=	0.600	6 Report
PLAT913_ALERT_3_C	Missing # of Very Strong Reflections in FCF		4 Note

Alert level G

PLAT007_ALERT_5_G	Number of Unrefined Donor-H Atoms		1 Report
PLAT791_ALERT_4_G	Model has Chirality at C8 (Sohnke SpGr)		R Verify
PLAT912_ALERT_4_G	Missing # of FCF Reflections Above STh/L=	0.600	2 Note
PLAT933_ALERT_2_G	Number of HKL-OMIT Records in Embedded .res File		4 Note
PLAT961_ALERT_5_G	Dataset Contains no Negative Intensities		Please Check
PLAT978_ALERT_2_G	Number C-C Bonds with Positive Residual Density.		0 Info

0 **ALERT level A** = Most likely a serious problem - resolve or explain
0 **ALERT level B** = A potentially serious problem, consider carefully
2 **ALERT level C** = Check. Ensure it is not caused by an omission or oversight
6 **ALERT level G** = General information/check it is not something unexpected

0 ALERT type 1 CIF construction/syntax error, inconsistent or missing data
2 ALERT type 2 Indicator that the structure model may be wrong or deficient
2 ALERT type 3 Indicator that the structure quality may be low
2 ALERT type 4 Improvement, methodology, query or suggestion
2 ALERT type 5 Informative message, check

It is advisable to attempt to resolve as many as possible of the alerts in all categories. Often the minor alerts point to easily fixed oversights, errors and omissions in your CIF or refinement strategy, so attention to these fine details can be worthwhile. In order to resolve some of the more serious problems it may be necessary to carry out additional measurements or structure refinements. However, the purpose of your study may justify the reported deviations and the more serious of these should normally be commented upon in the discussion or experimental section of a paper or in the "special_details" fields of the CIF. checkCIF was carefully designed to identify outliers and unusual parameters, but every test has its limitations and alerts that are not important in a particular case may appear. Conversely, the absence of alerts does not guarantee there are no aspects of the results needing attention. It is up to the individual to critically assess their own results and, if necessary, seek expert advice.

Publication of your CIF in IUCr journals

A basic structural check has been run on your CIF. These basic checks will be run on all CIFs submitted for publication in IUCr journals (*Acta Crystallographica*, *Journal of Applied Crystallography*, *Journal of Synchrotron Radiation*); however, if you intend to submit to *Acta Crystallographica Section C* or *E* or *IUCrData*, you should make sure that **full publication checks** are run on the final version of your CIF prior to submission.

Publication of your CIF in other journals

Please refer to the *Notes for Authors* of the relevant journal for any special instructions relating to CIF submission.

PLATON version of 18/05/2022; check.def file version of 17/05/2022

8. References

- [1] Dehury, S. K. X-Ray Crystal Structure and Photo Chemistry of *N*-Methyl-*N*-(1-phenylvinyl)benzamide. *J. Chem. Crystallogr.* **2011**, *41*, 1386-1390.
- [2] Tait, M.; Donnard, M.; Minassi, A.; Lefranc, J.; Bechi, B.; Carbone, G.; O'Brien, P.; Clayden, J. Amines Bearing Tertiary Substituents by Tandem Enantioselective Carbolithiation-Rearrangement of Vinylureas. *Org. Lett.* **2013**, *15*, 34-37.
- [3] Huang, W.; Shrestha, M.; Wang, C.; Fang, K.; Teng, Y.; Qu, J.; Chen, Y. Asymmetric Synthesis of 3-Benzyl and Allyl Isoindolinones by Pd-Catalyzed Dicarbofunctionalization of 1,1-Disubstituted Enamides. *Org. Chem. Front.* **2021**, *8*, 4106-4111.
- [4] Whyte, A.; Torelli, A.; Mirabi, B.; Lautens, M. Enantioselective Copper-Catalyzed Borylative Cyclization with Cyclic Imides. *Org. Lett.* **2019**, *21*, 8373-8377.
- [5] Sonawane, R. P.; Rabalakos, V. J. C.; Gauthier, R. L.; Scott, H. K.; Aggarwal, V. K. Enantioselective Construction of Quaternary Stereogenic Centers from Tertiary Boronic Esters: Methodology and Applications. *Angew. Chem. Int. Ed.* **2011**, *50*, 3760-3763.

9. Copies of NMR spectra

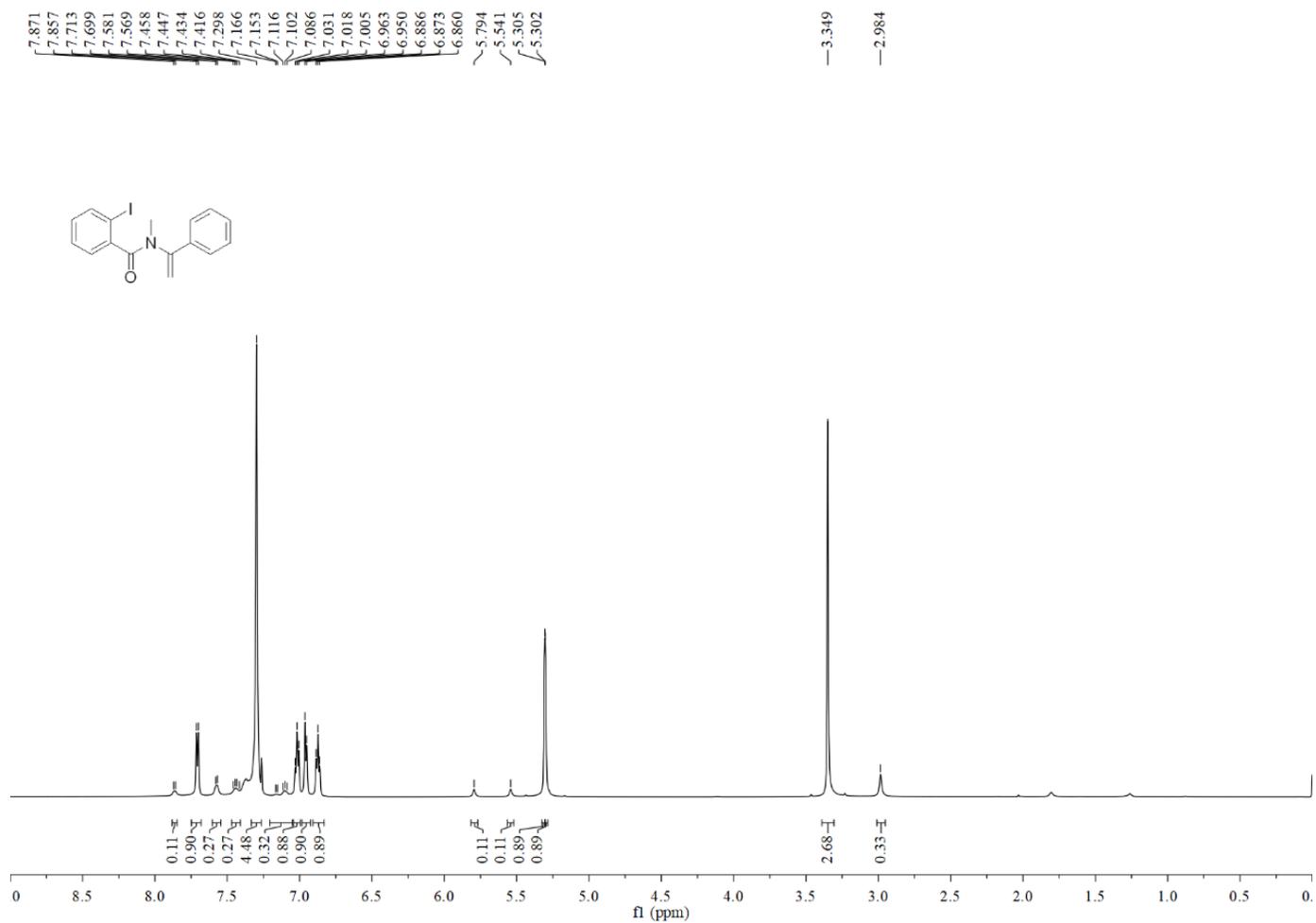


Figure S2. ¹H NMR spectrum of compound **1a** (600 MHz, CDCl₃)

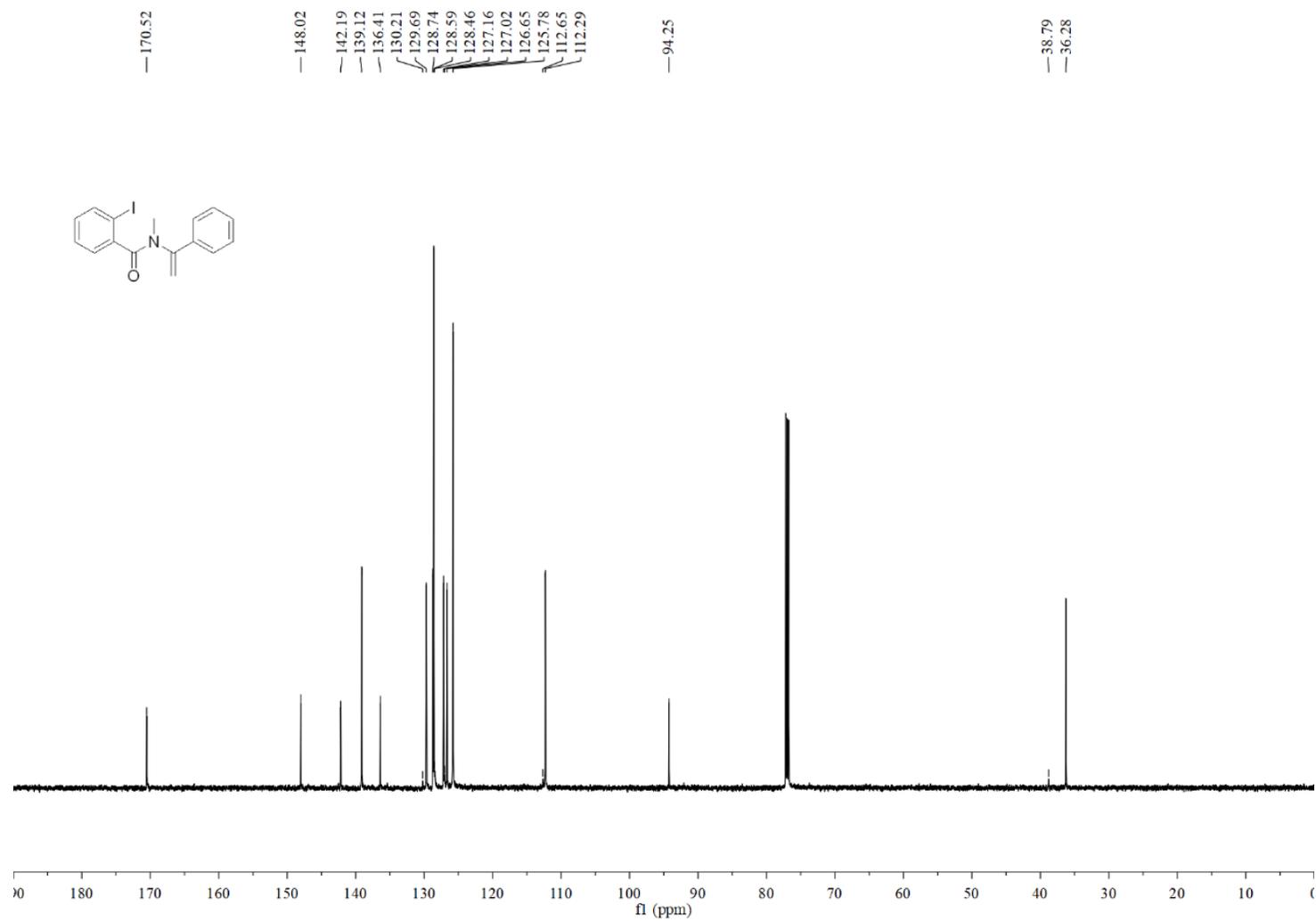


Figure S3. ¹³C NMR spectrum of compound **1a** (150 MHz, CDCl₃)

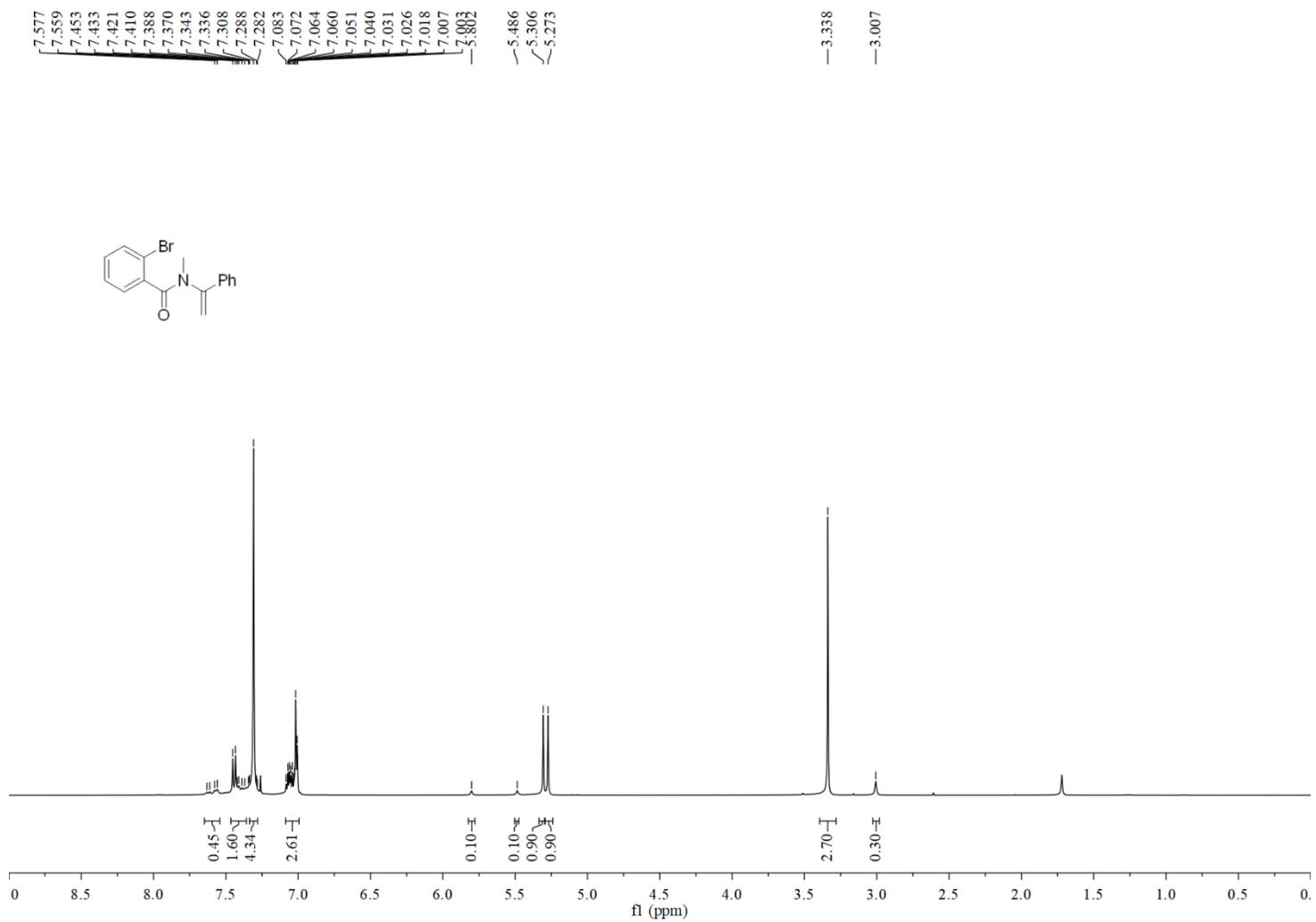


Figure S4. ¹H NMR spectrum of compound **1a'** (400 MHz, CDCl₃)

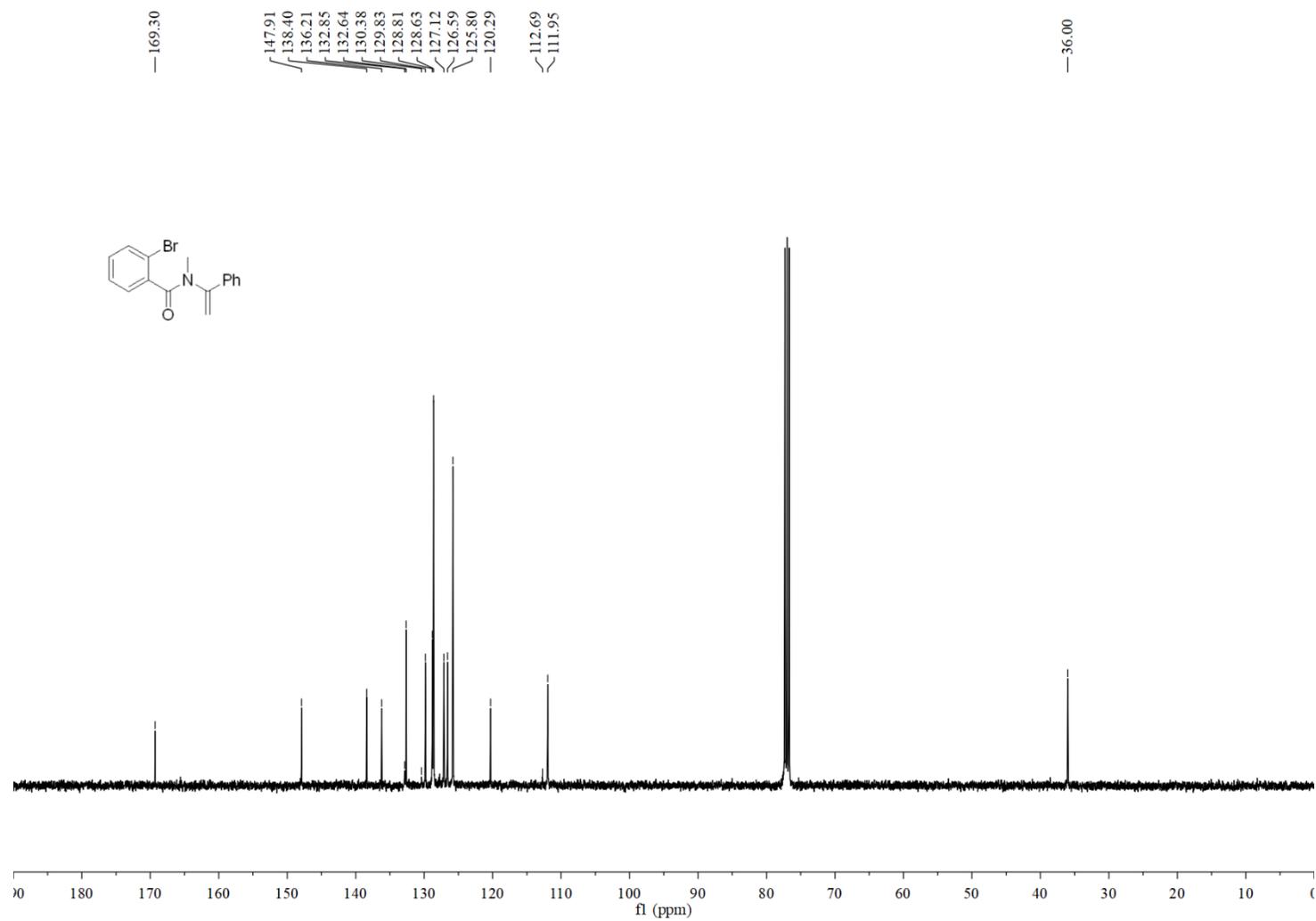


Figure S5. ¹³C NMR spectrum of compound 1a' (100 MHz, CDCl₃)

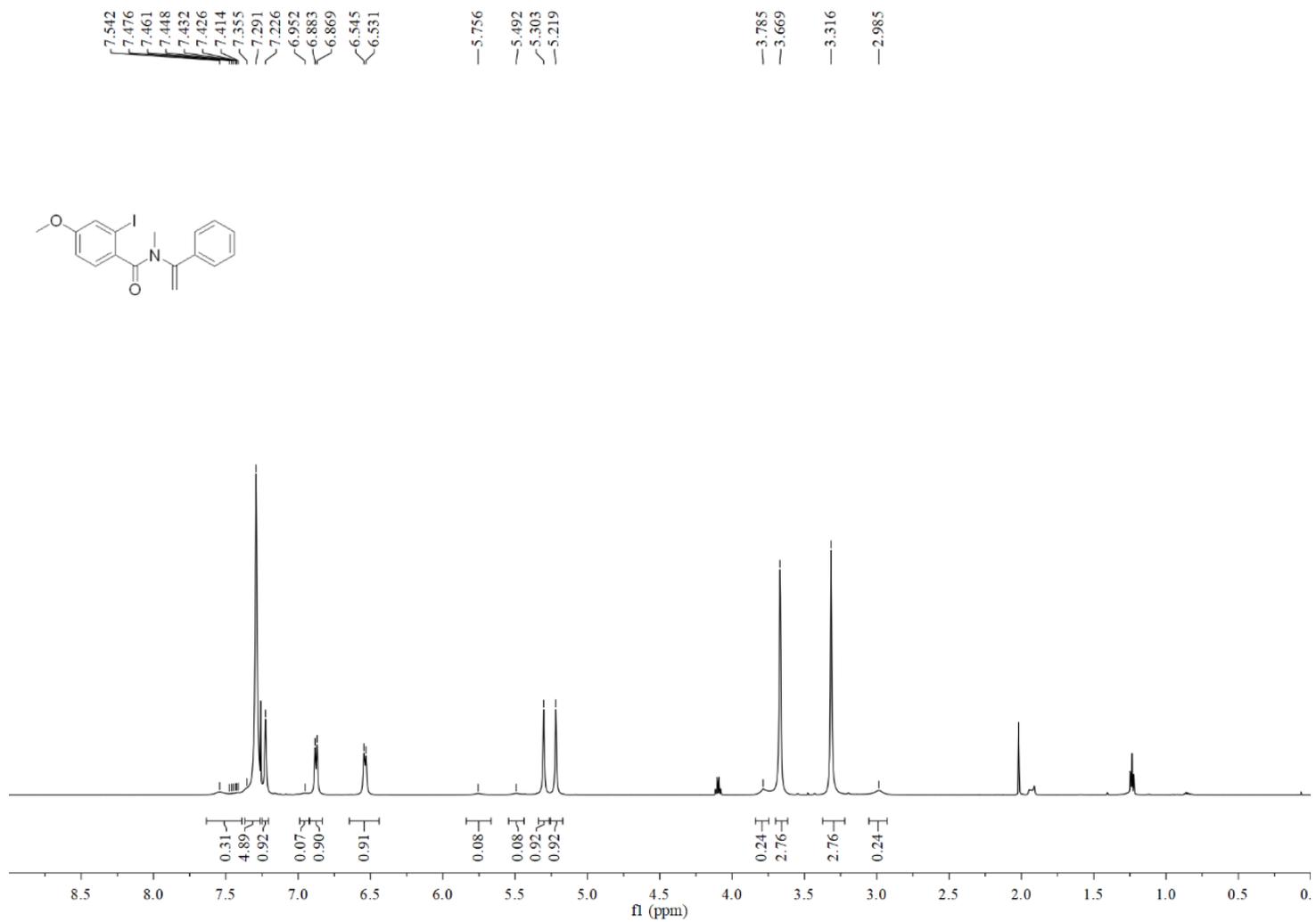


Figure S6. ^1H NMR spectrum of compound **1b** (600 MHz, CDCl_3)

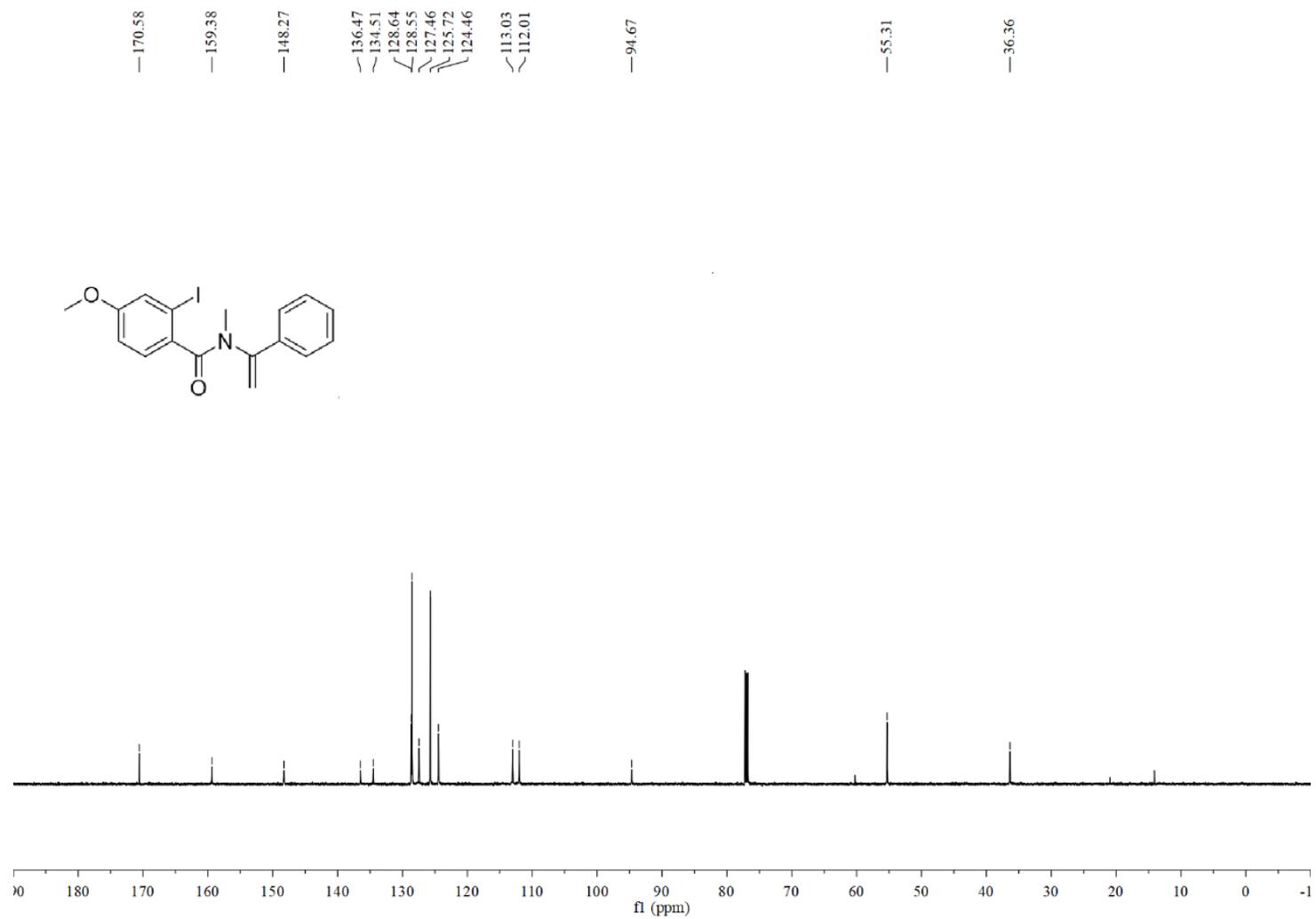


Figure S7. ^{13}C NMR spectrum of compound **1b** (150 MHz, CDCl_3)

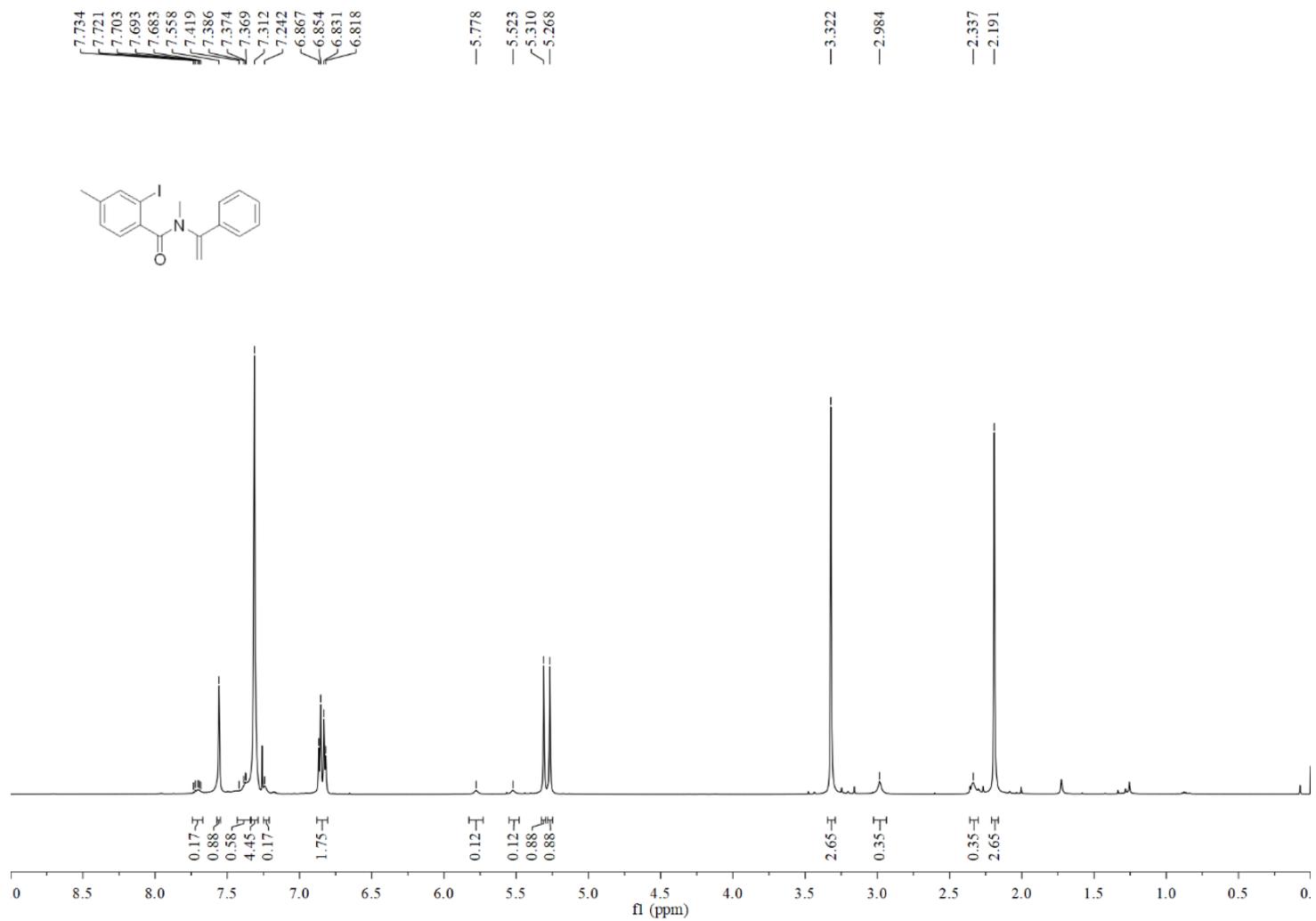


Figure S8. ¹H NMR spectrum of compound **1c** (600 MHz, CDCl₃)

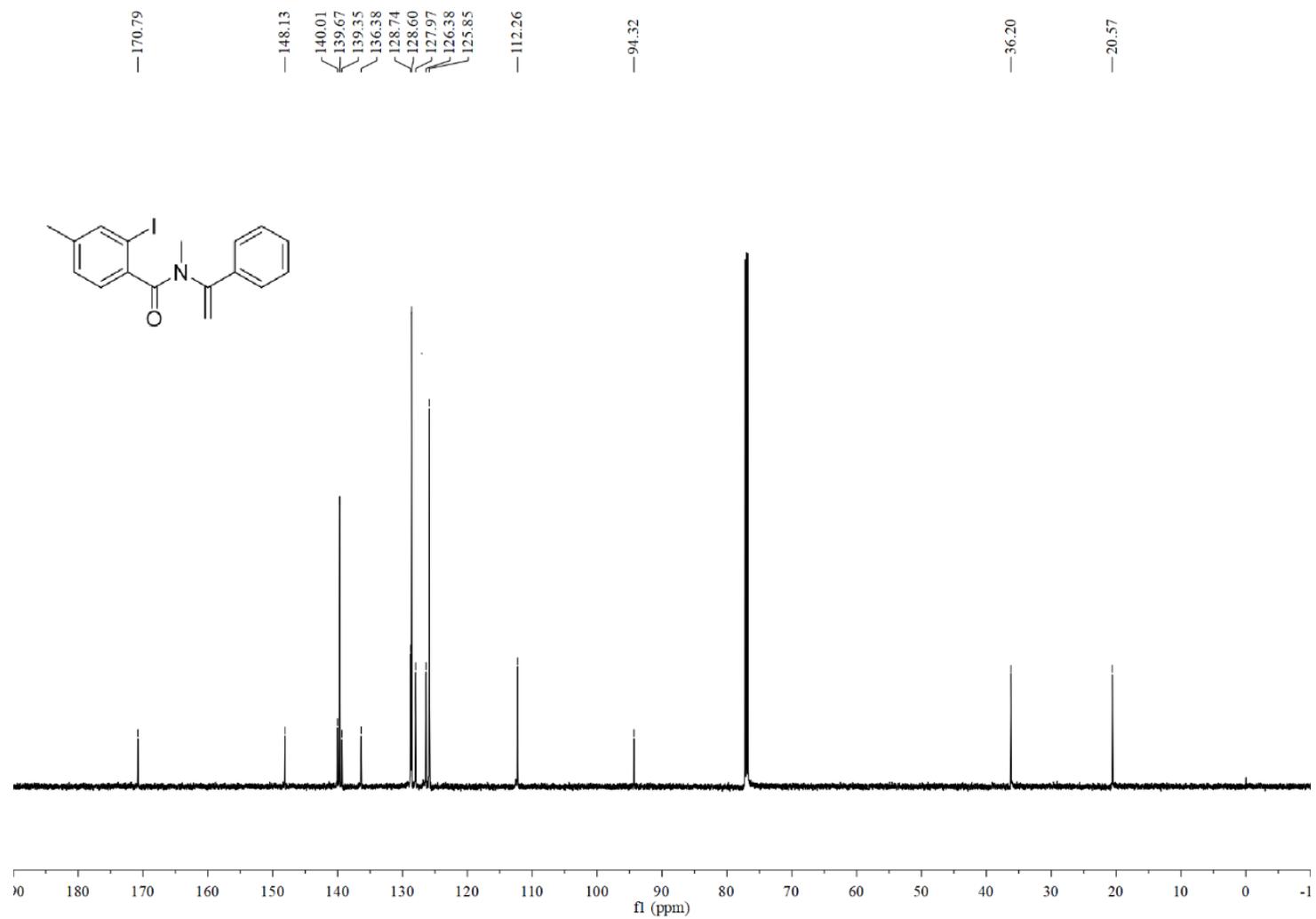


Figure S9. ^{13}C NMR spectrum of compound **1c** (150 MHz, CDCl_3)

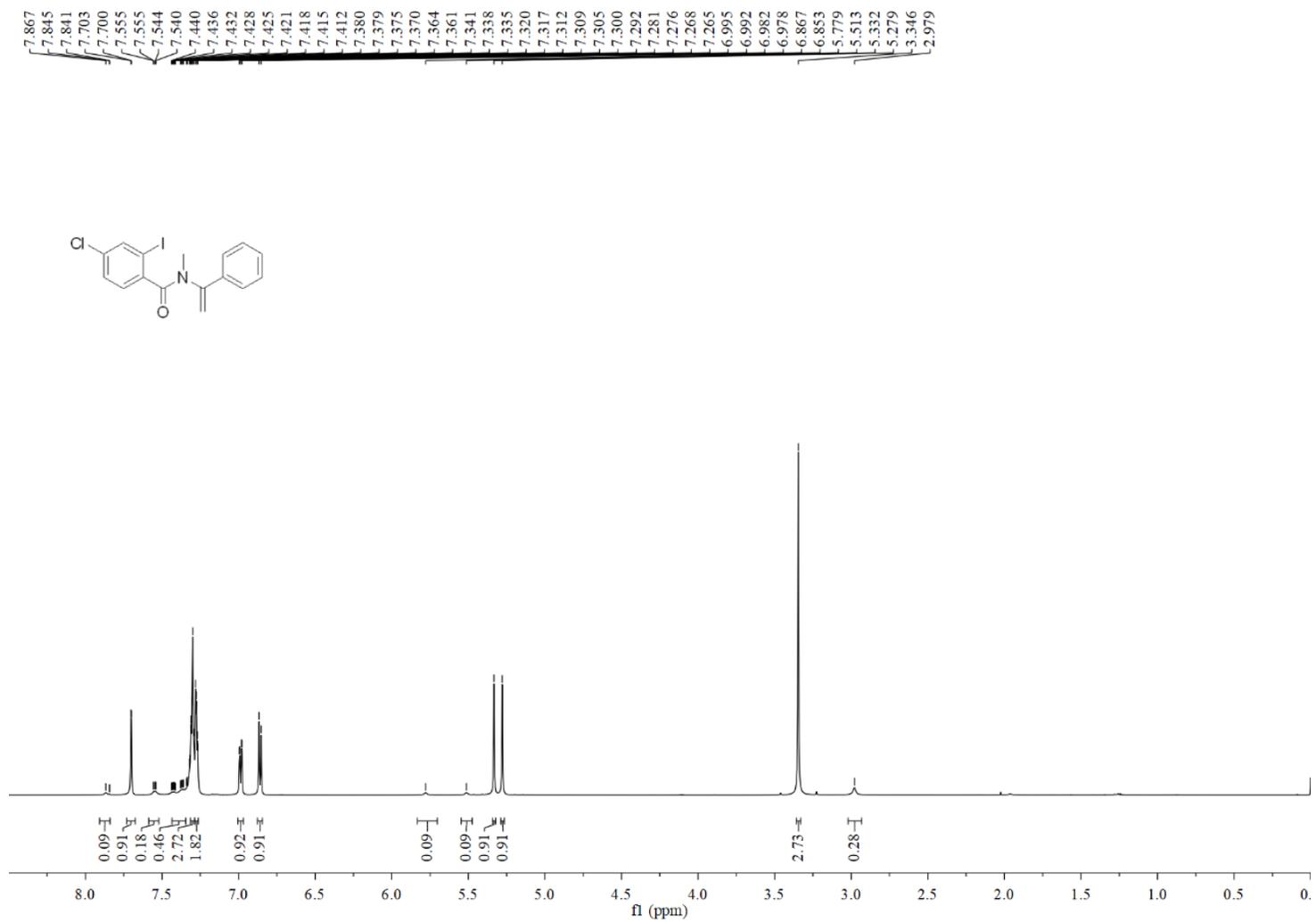


Figure S10. ¹H NMR spectrum of compound **1d** (600 MHz, CDCl₃)

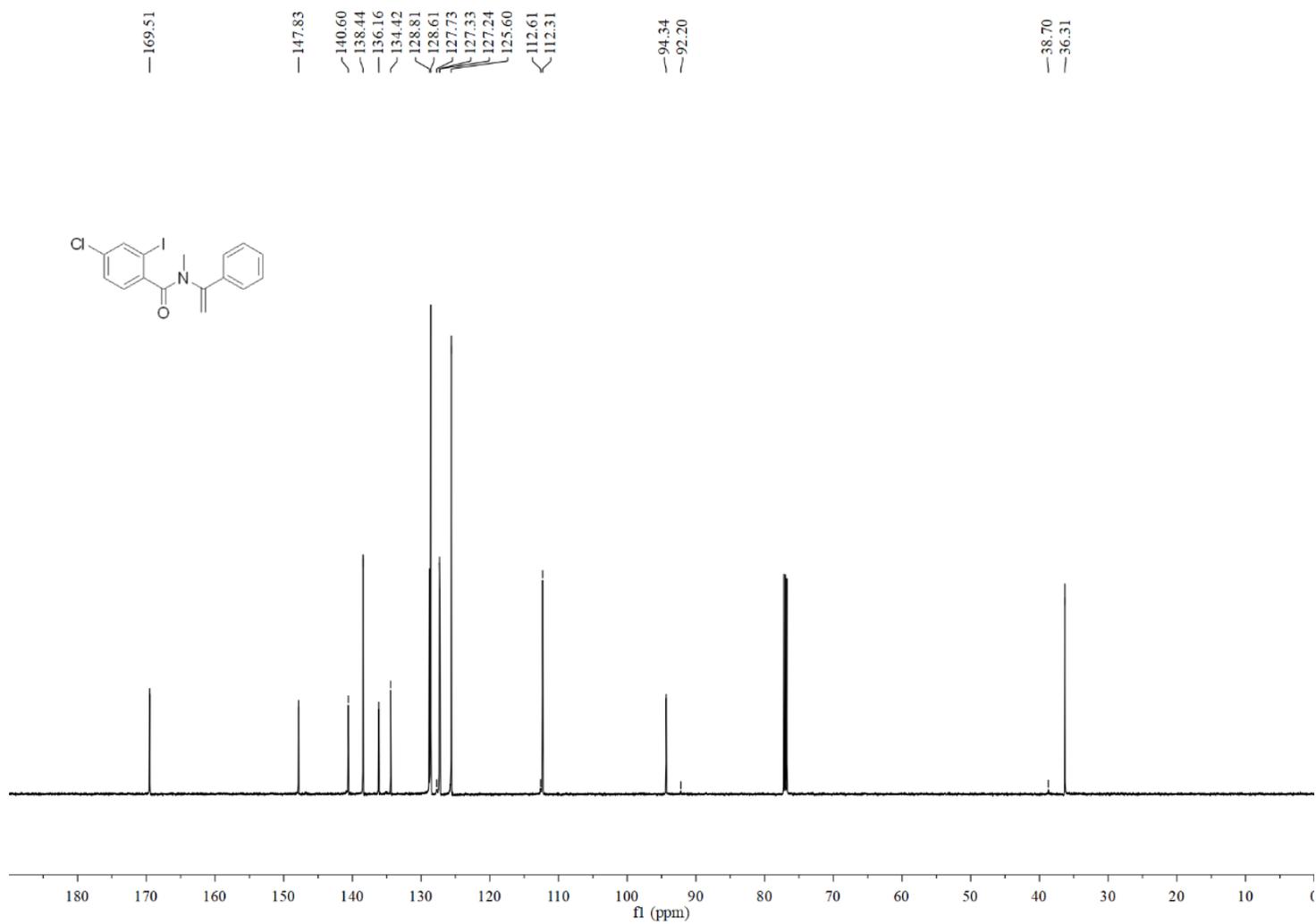


Figure S11. ^{13}C NMR spectrum of compound **1d** (150 MHz, CDCl_3)

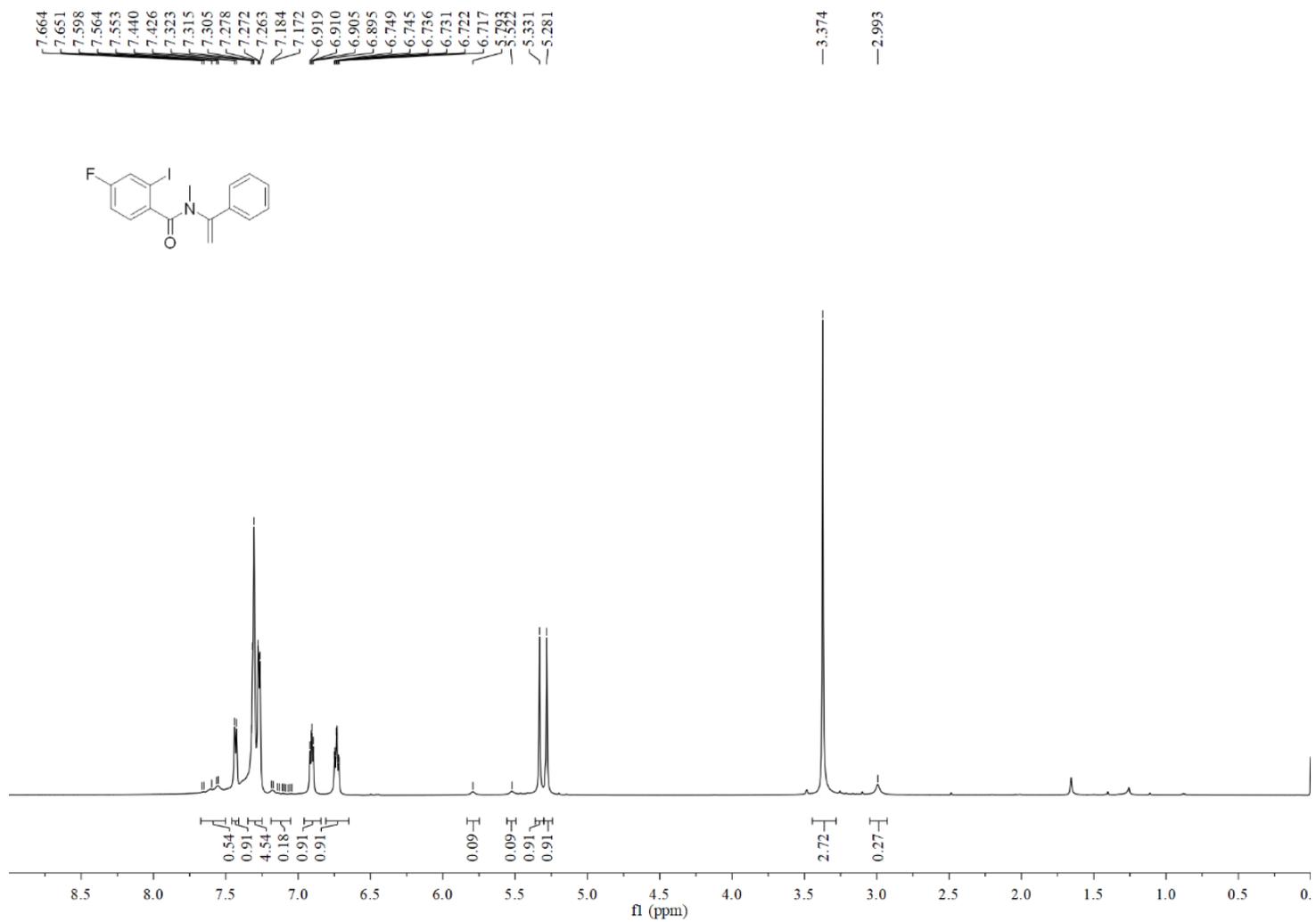


Figure S12. ¹H NMR spectrum of compound **1e** (600 MHz, CDCl₃)

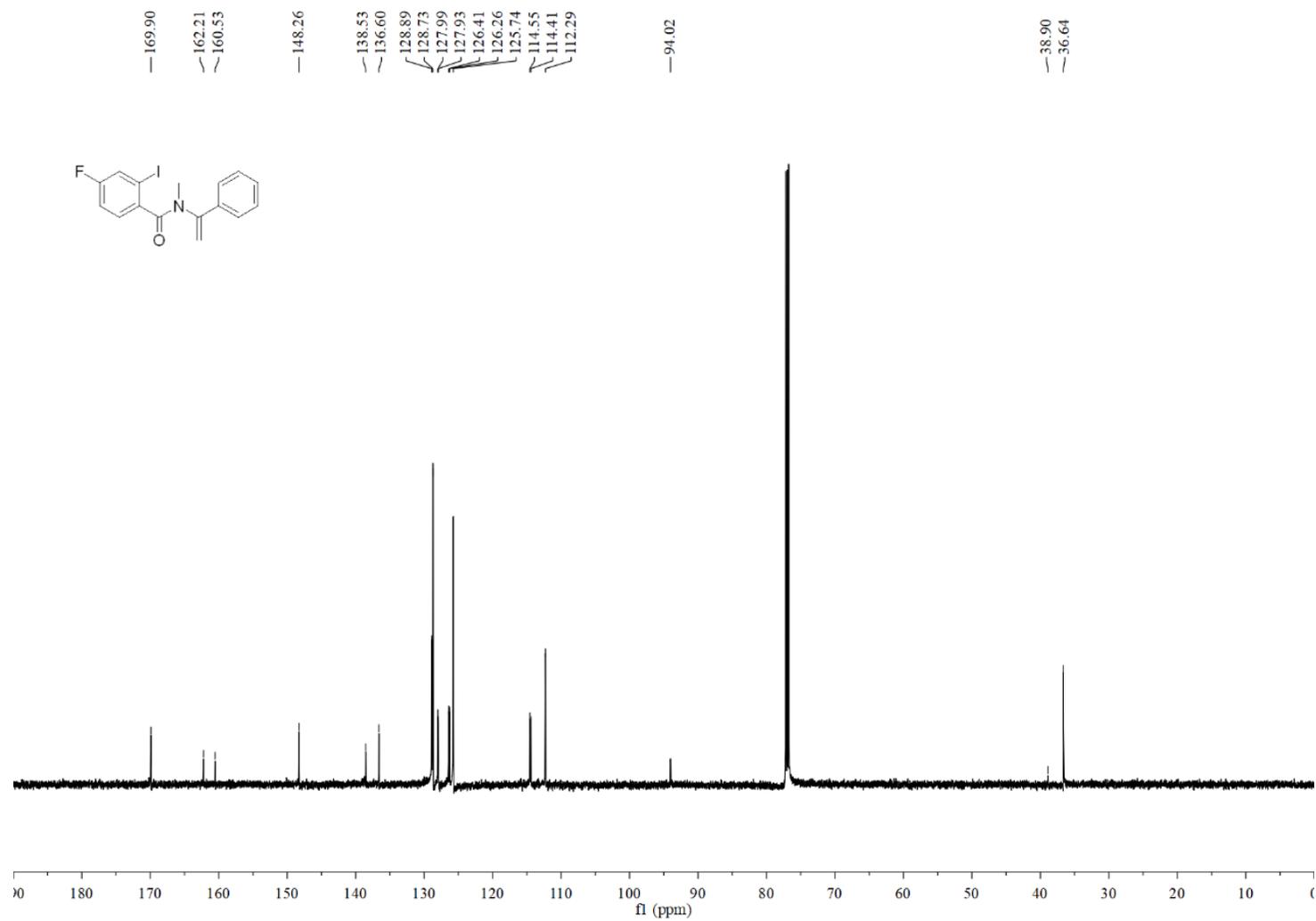


Figure S13. ¹³C NMR spectrum of compound **1e** (150 MHz, CDCl₃)

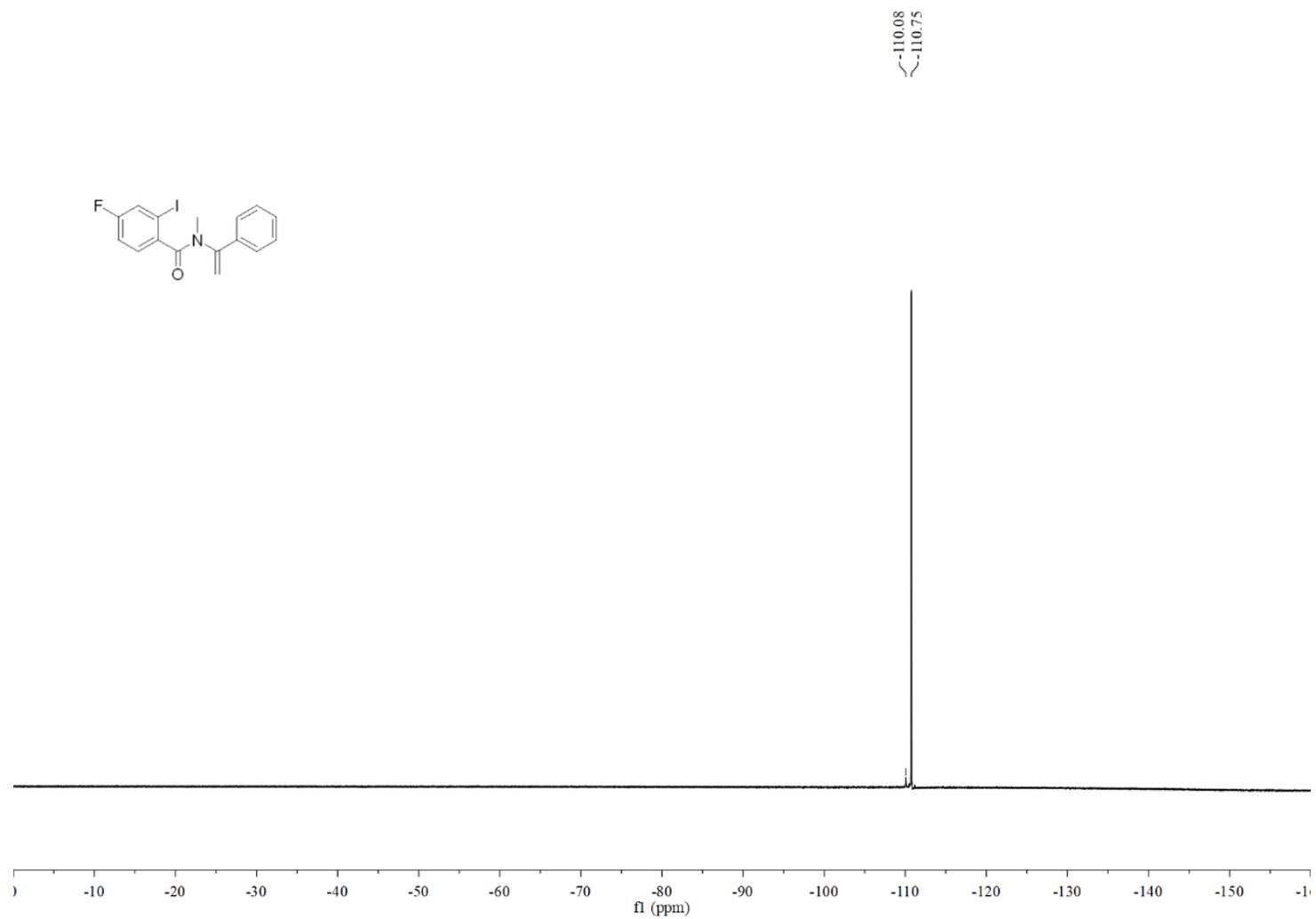


Figure S14. ^{19}F NMR spectrum of compound **1e** (377 MHz, CDCl_3)

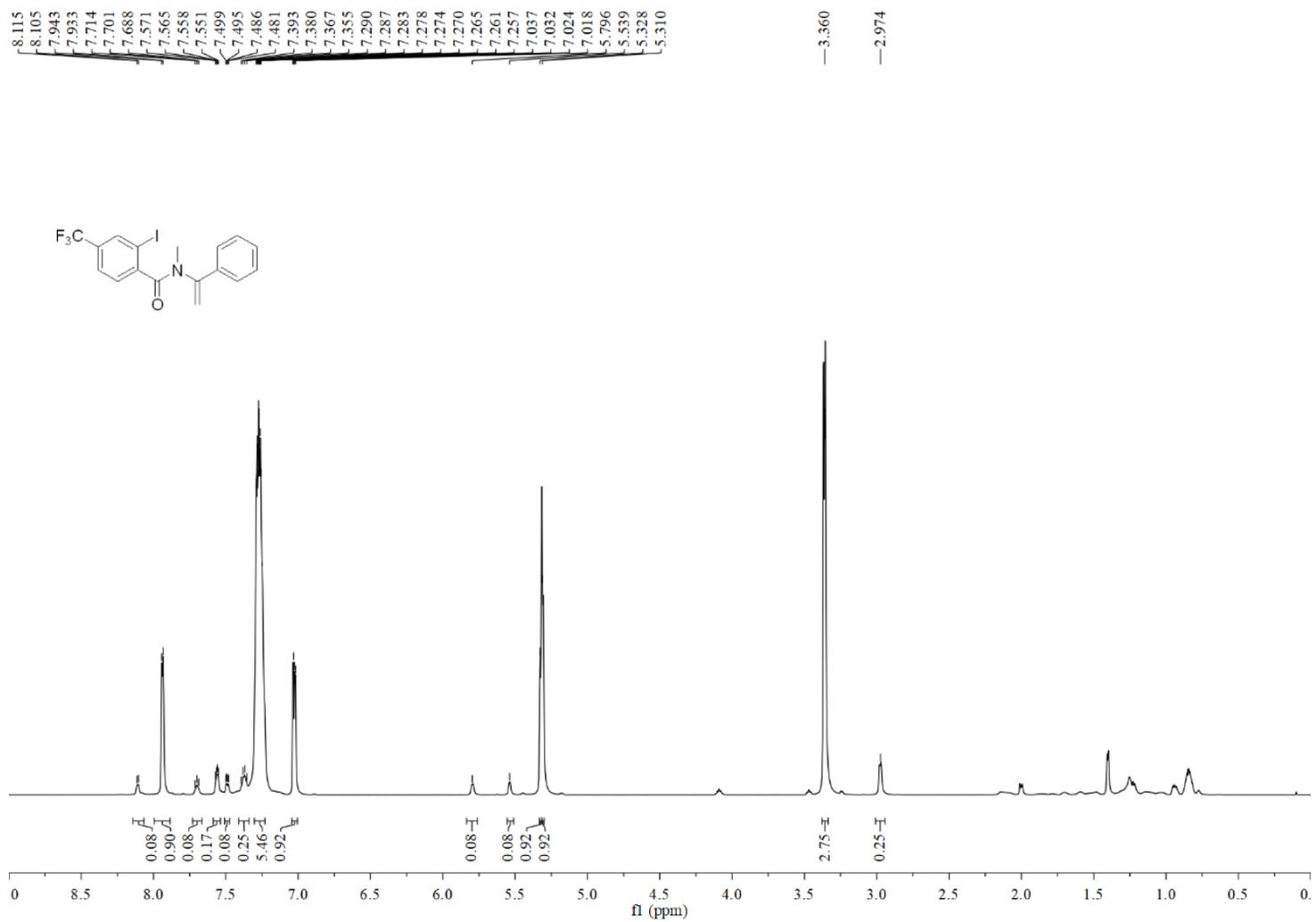


Figure S15. ¹H NMR spectrum of compound **1f** (600 MHz, CDCl₃)

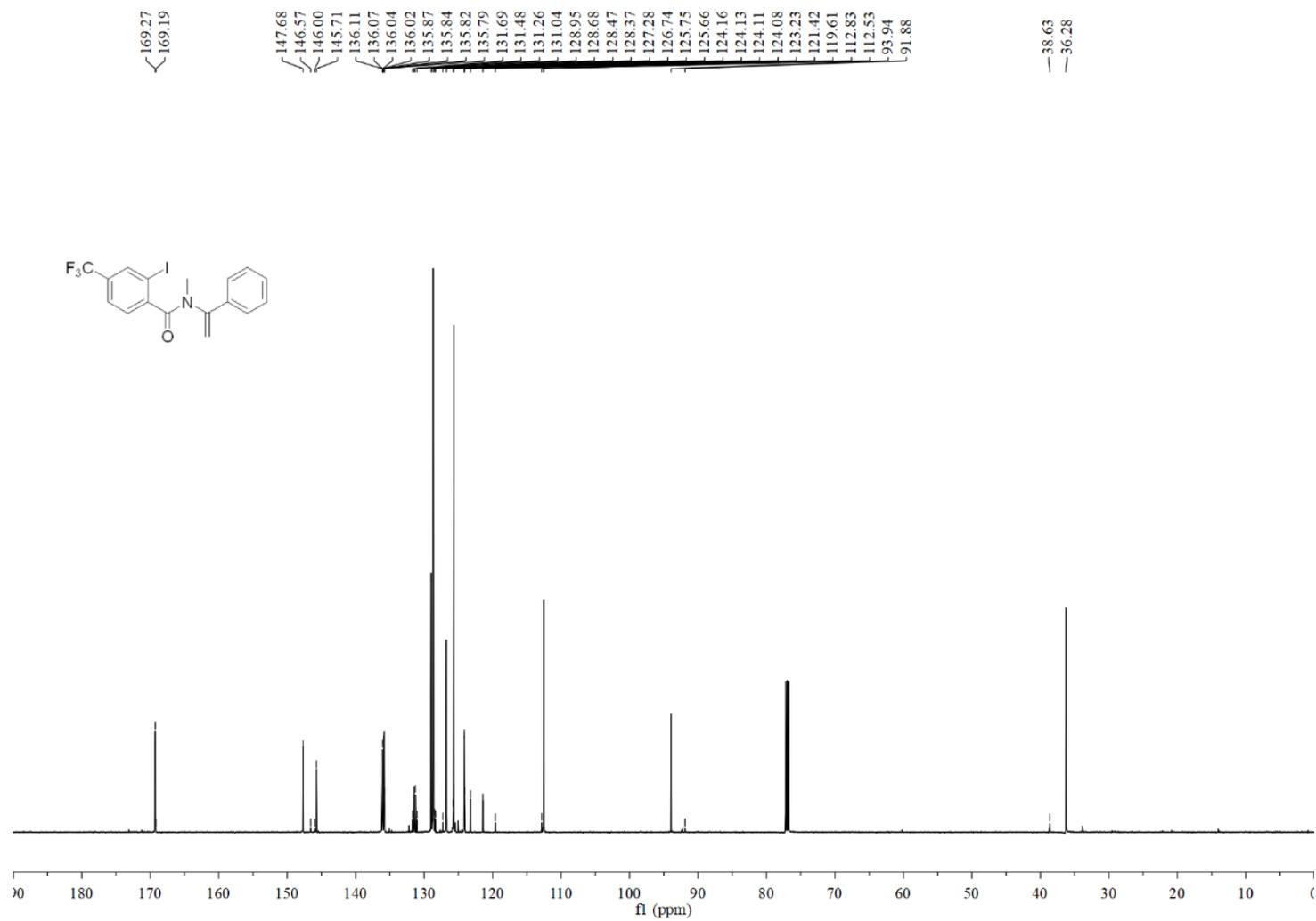


Figure S16. ^{13}C NMR spectrum of compound **1f** (150 MHz, CDCl_3)

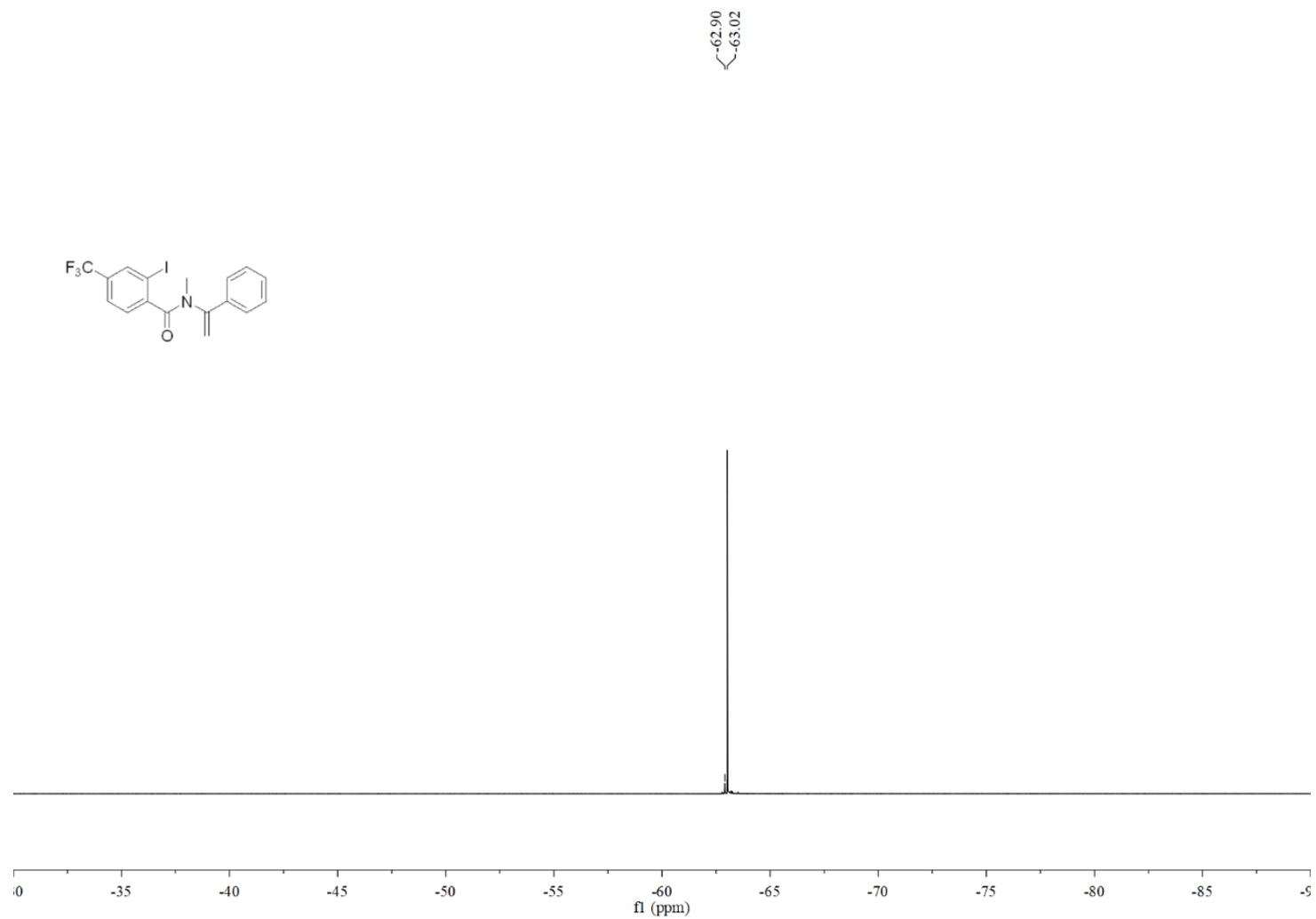


Figure S17. ^{19}F NMR spectrum of compound **1f** (377 MHz, CDCl_3)

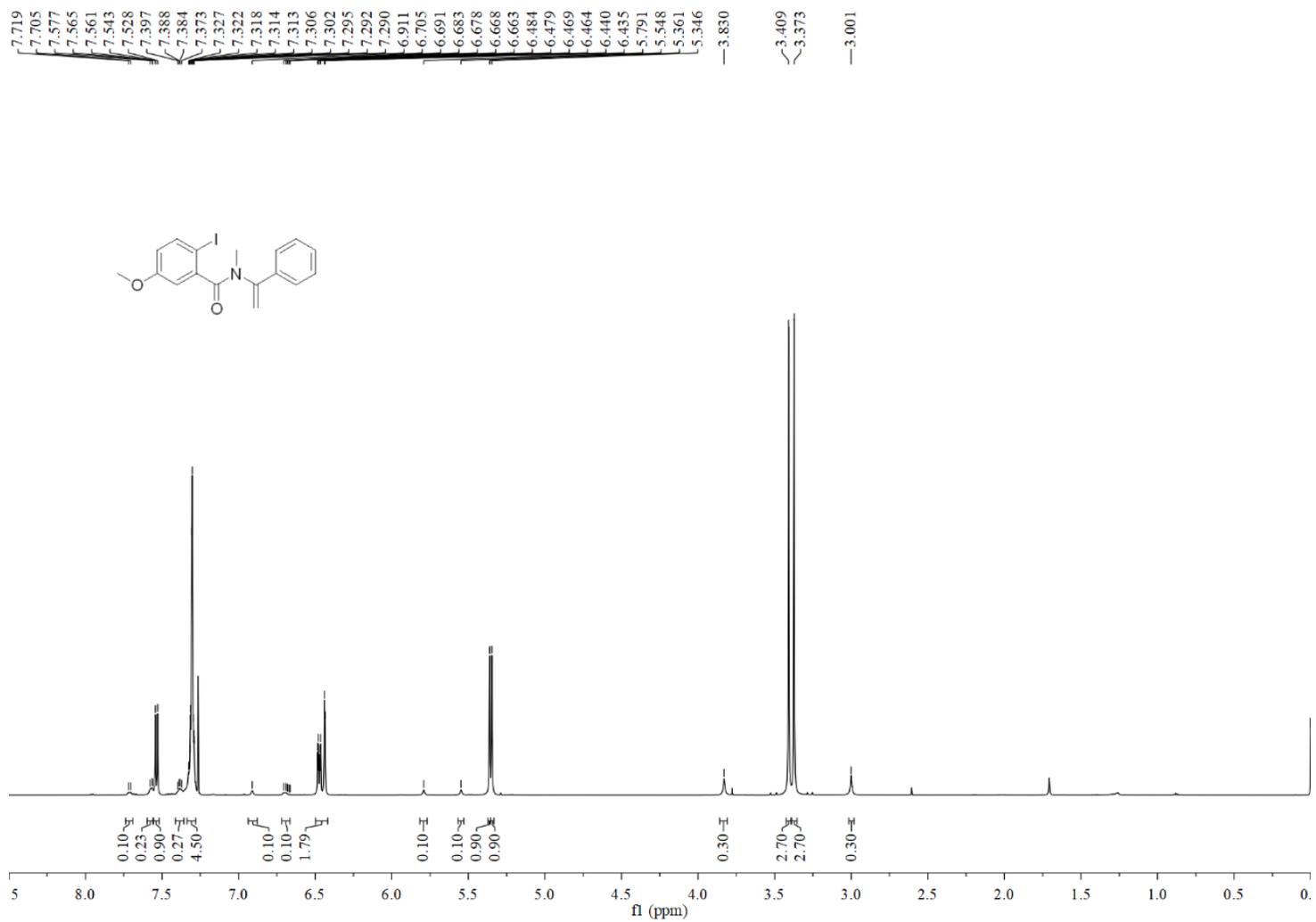


Figure S18. ¹H NMR spectrum of compound **1g** (600 MHz, CDCl₃)

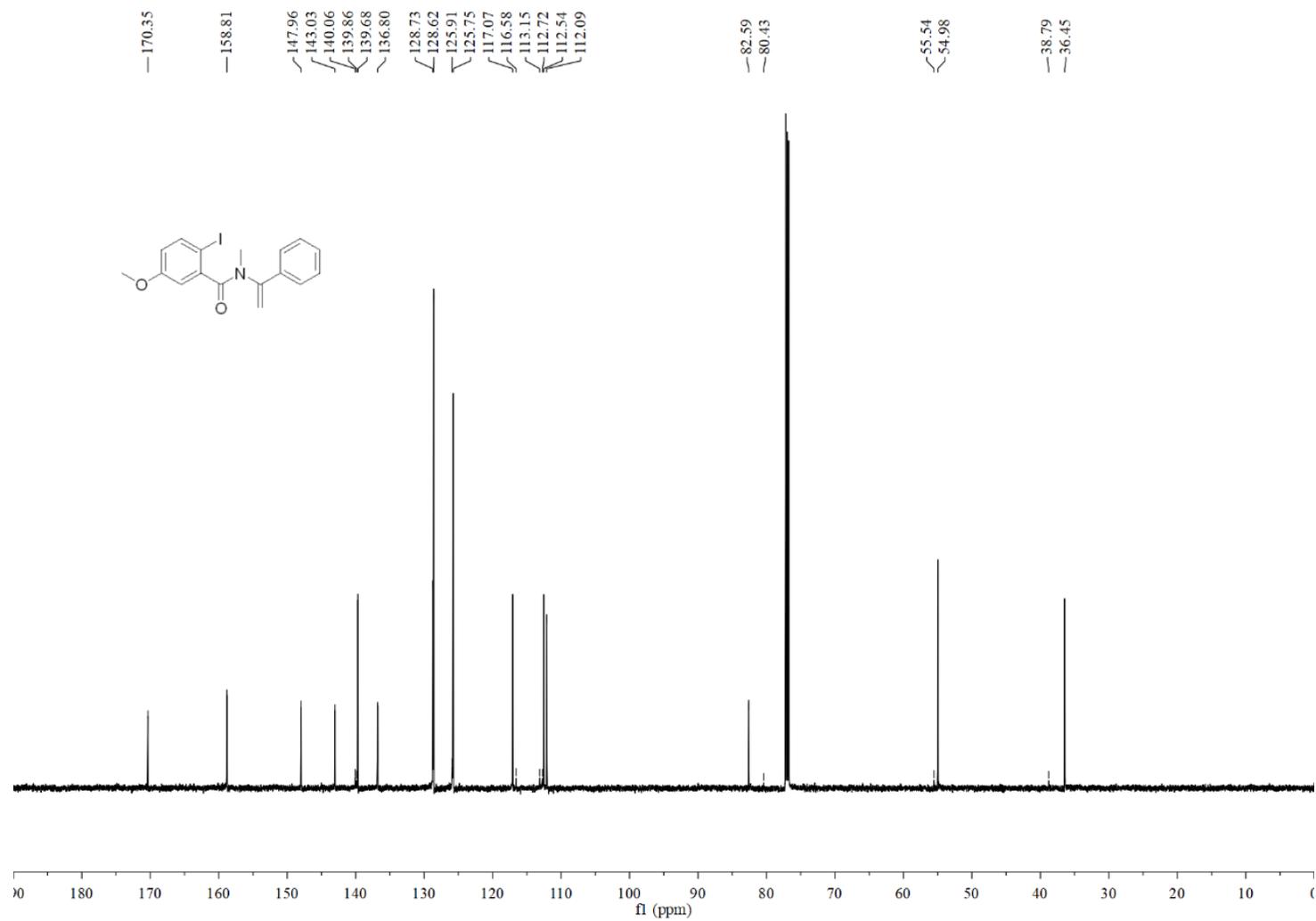


Figure S19. ^{13}C NMR spectrum of compound **1g** (150 MHz, CDCl_3)

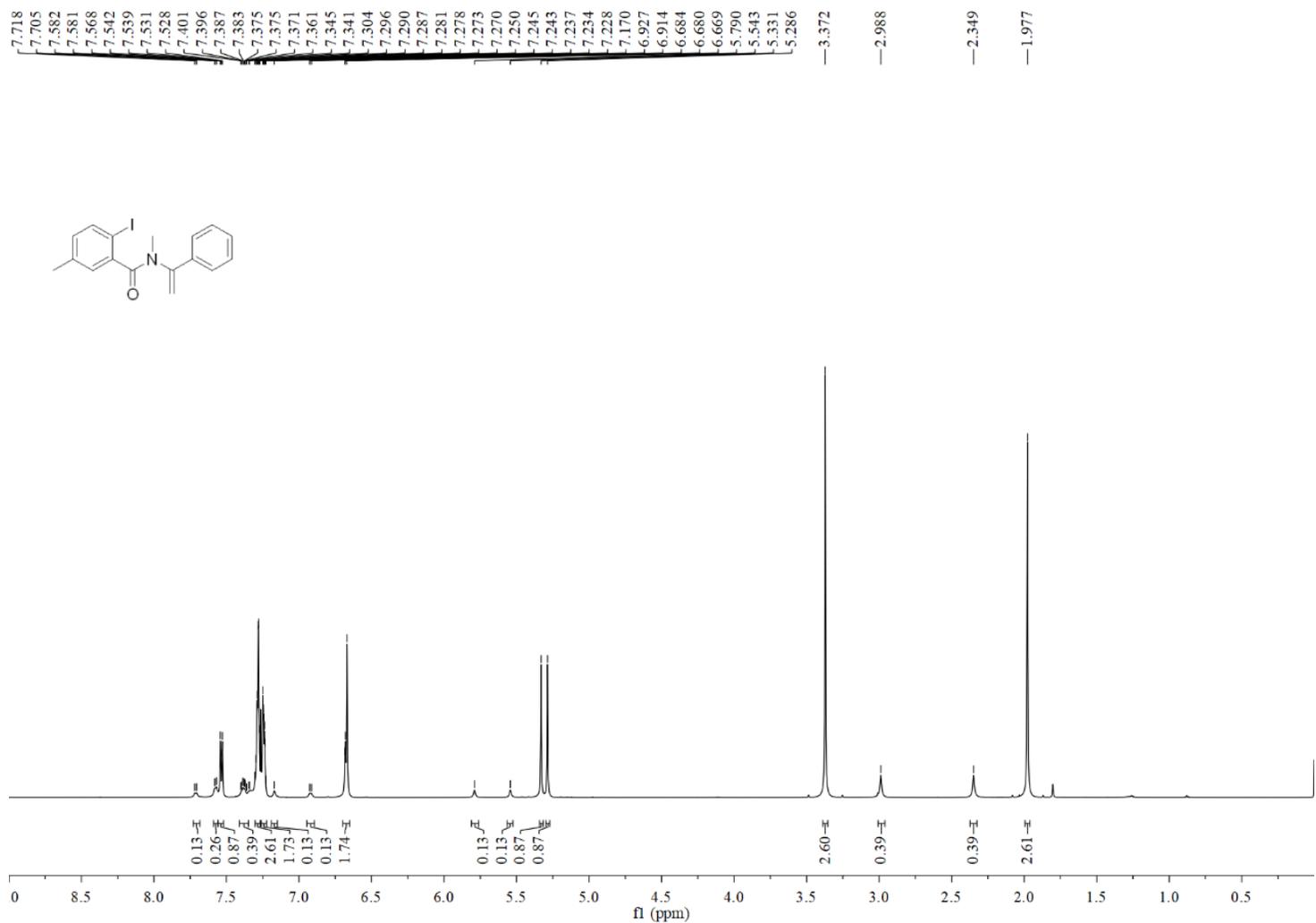


Figure S20. ¹H NMR spectrum of compound **1h** (600 MHz, CDCl₃)

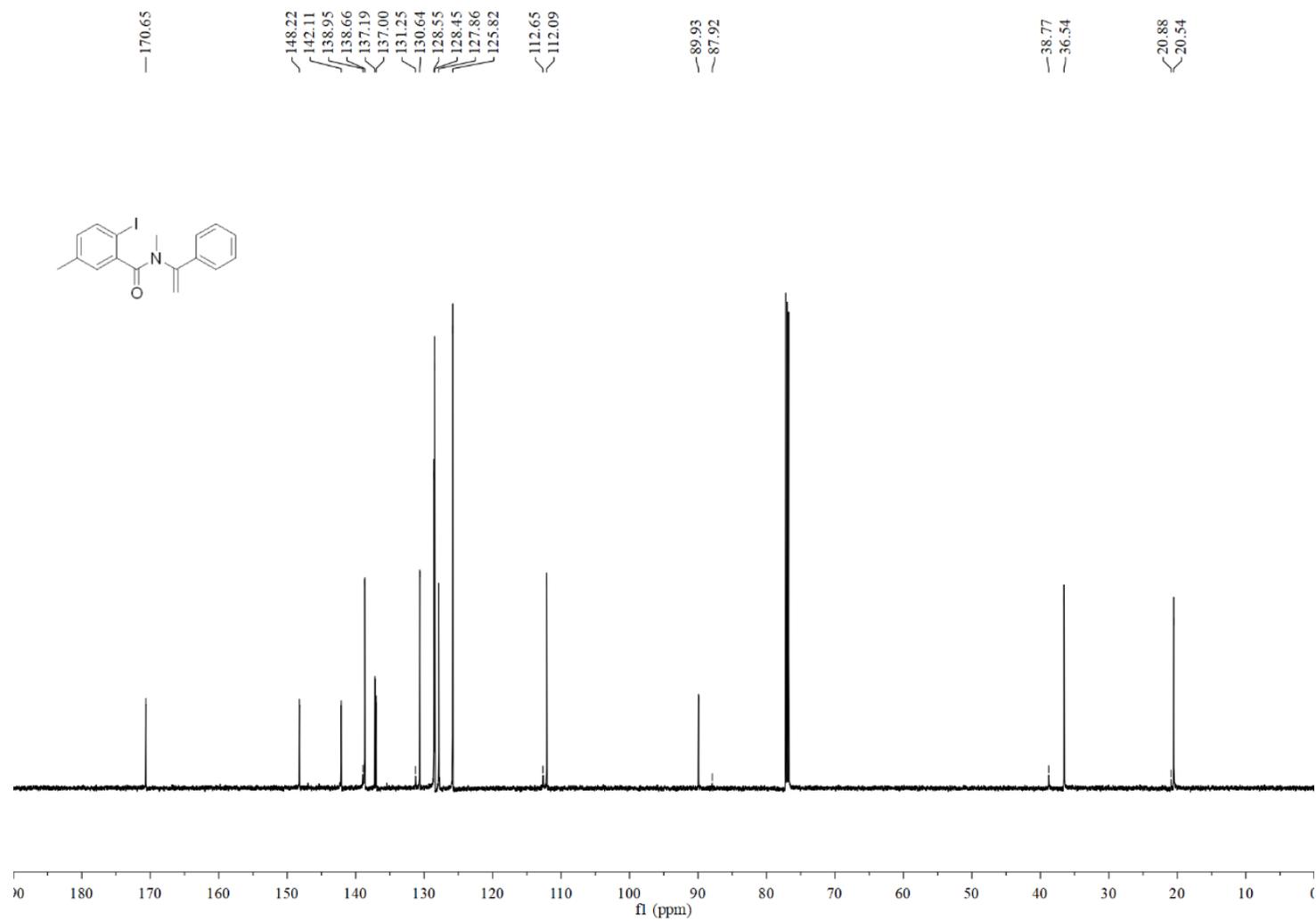


Figure S21. ^{13}C NMR spectrum of compound **1h** (150 MHz, CDCl_3)

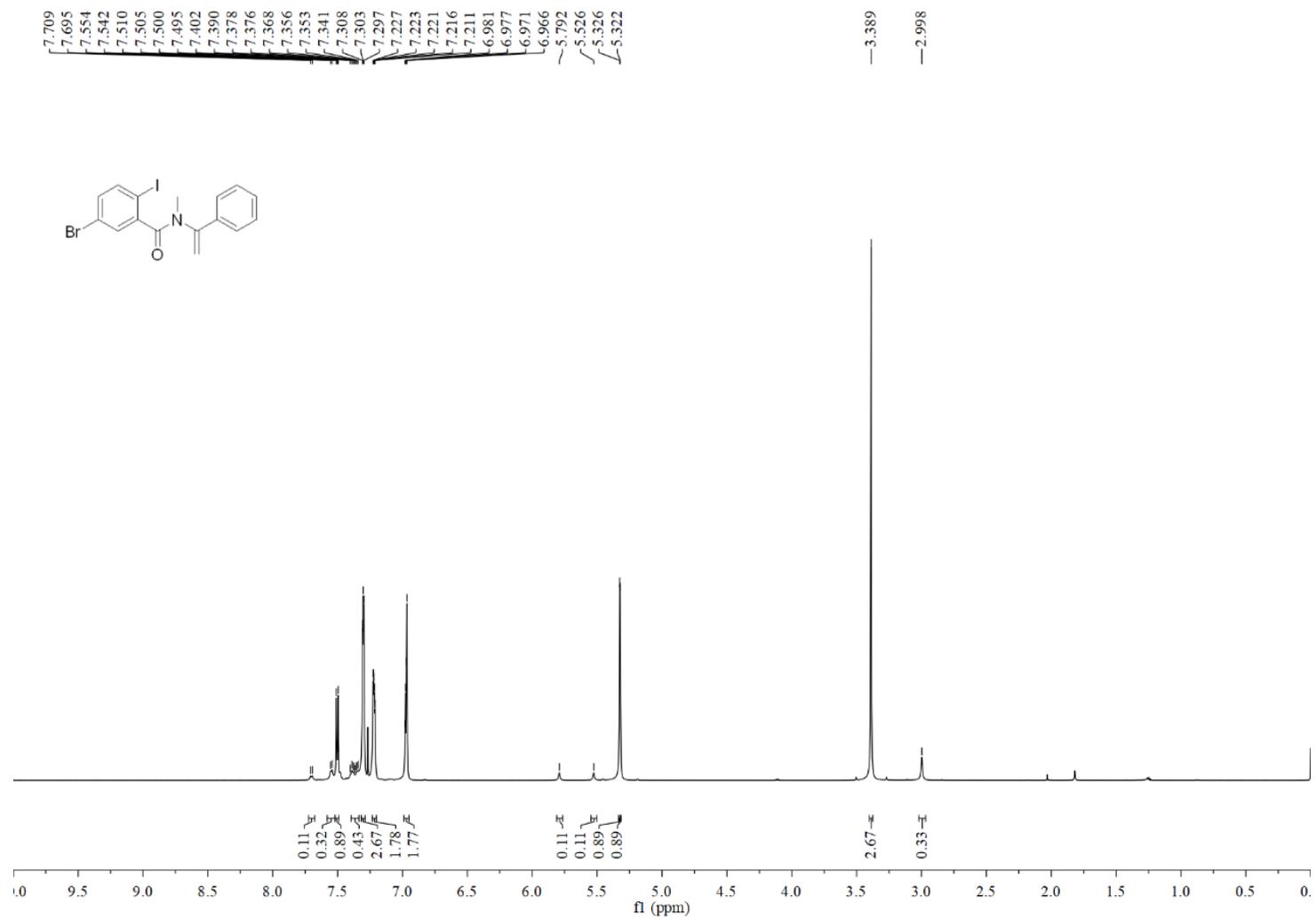


Figure S22. ¹H NMR spectrum of compound **1i** (600 MHz, CDCl₃)

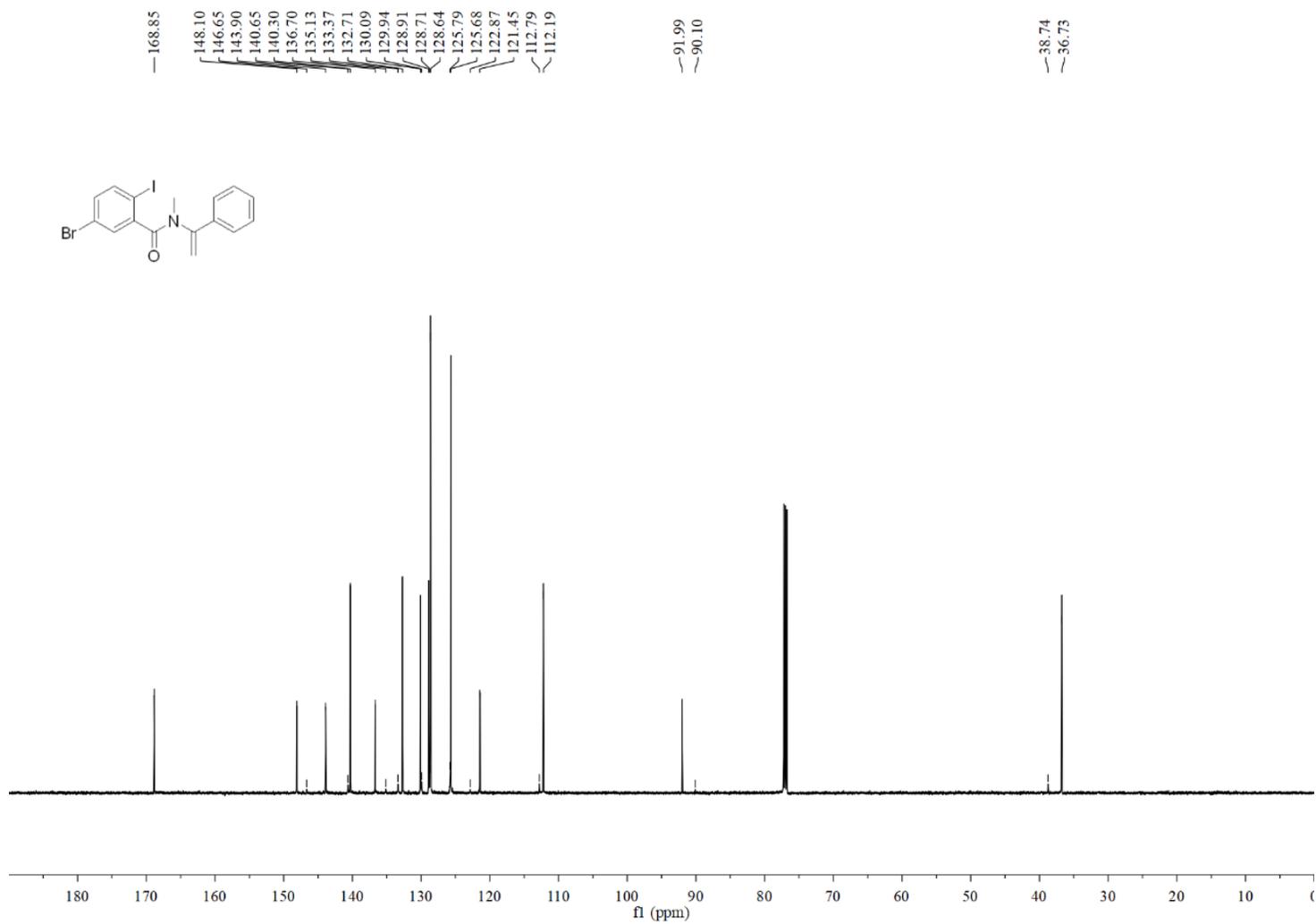


Figure S23. ¹³C NMR spectrum of compound **1i** (150 MHz, CDCl₃)

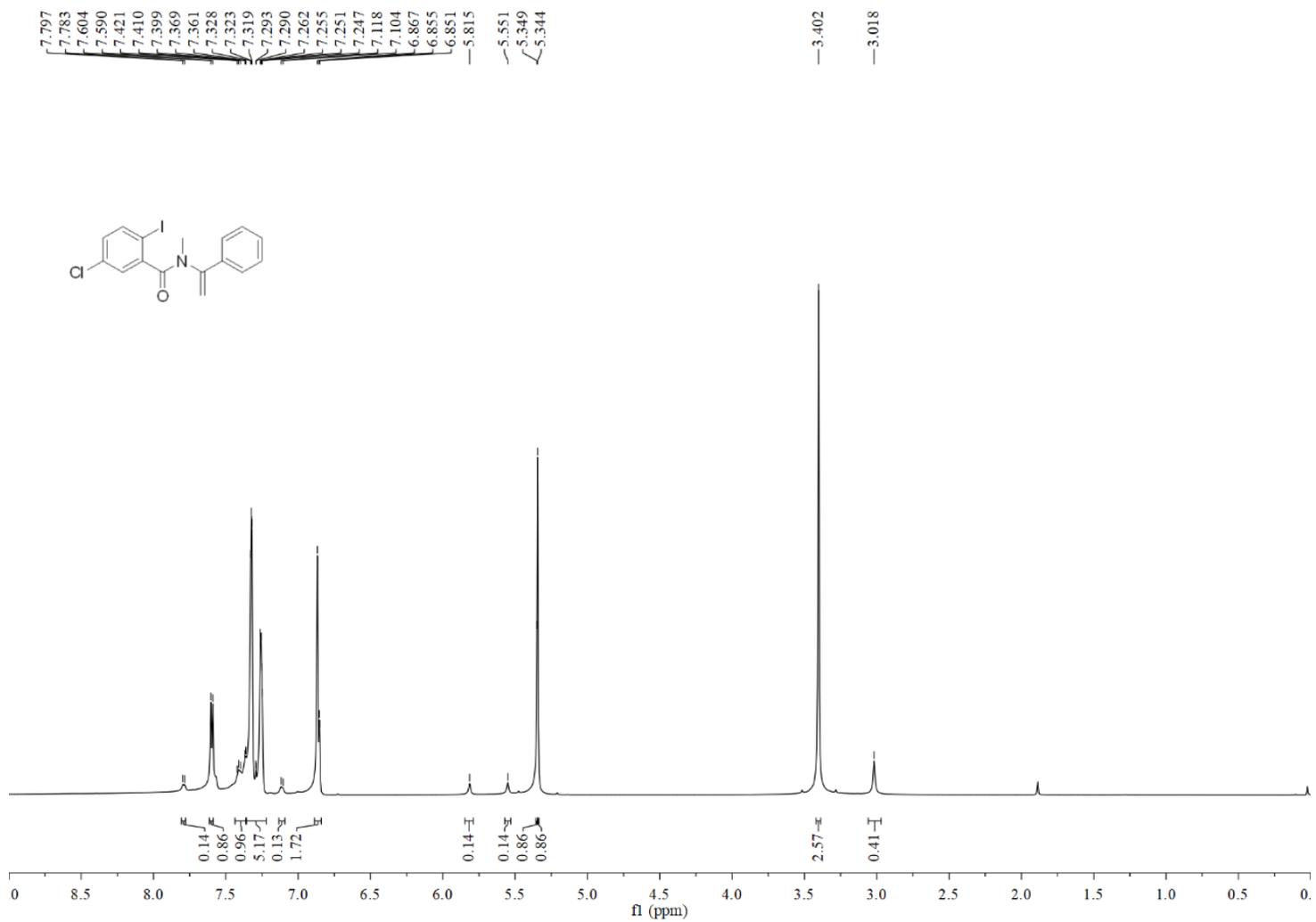


Figure S24. ¹H NMR spectrum of compound **1j** (600 MHz, CDCl₃)

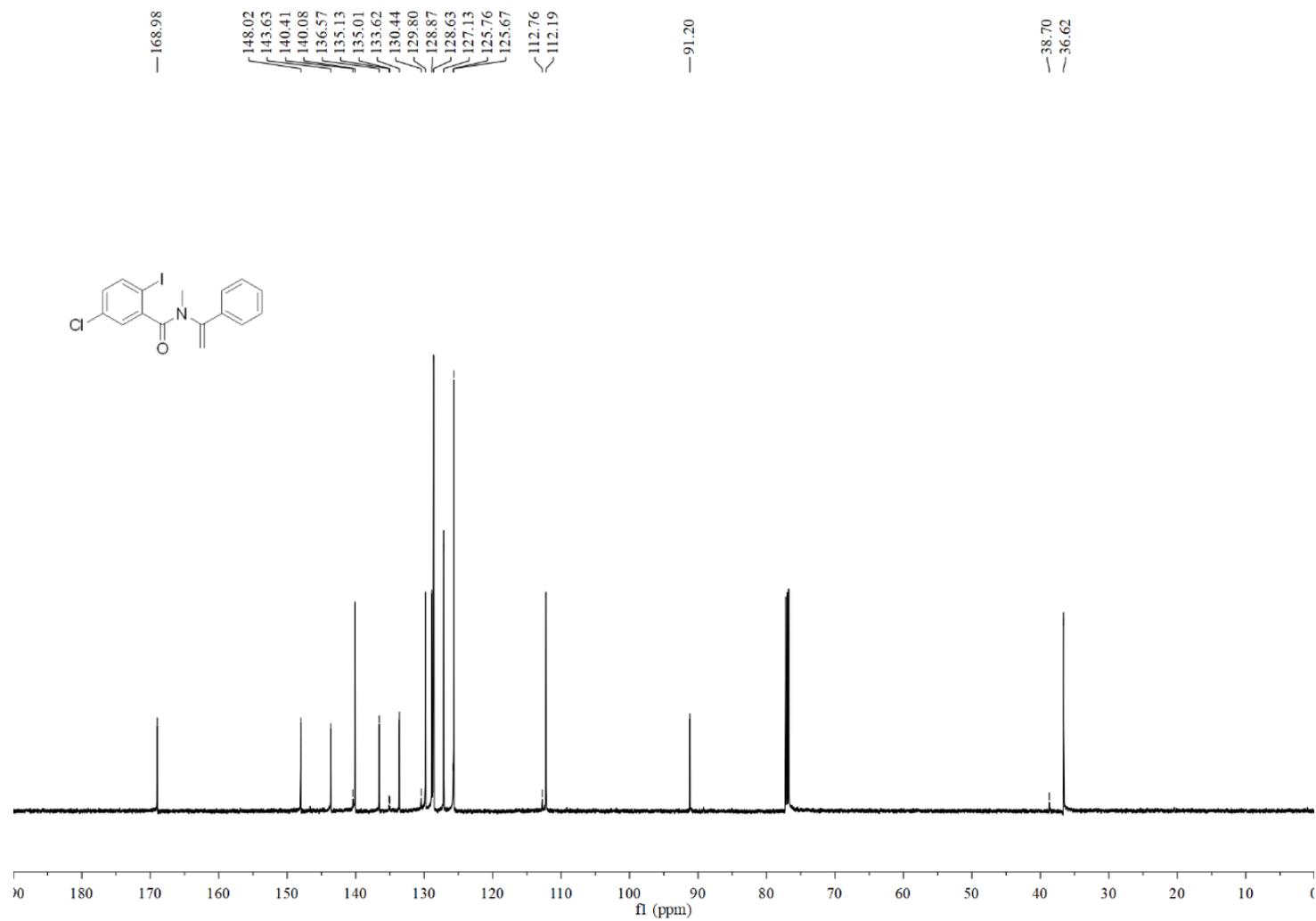


Figure S25. ¹³C NMR spectrum of compound **1j** (150 MHz, CDCl₃)

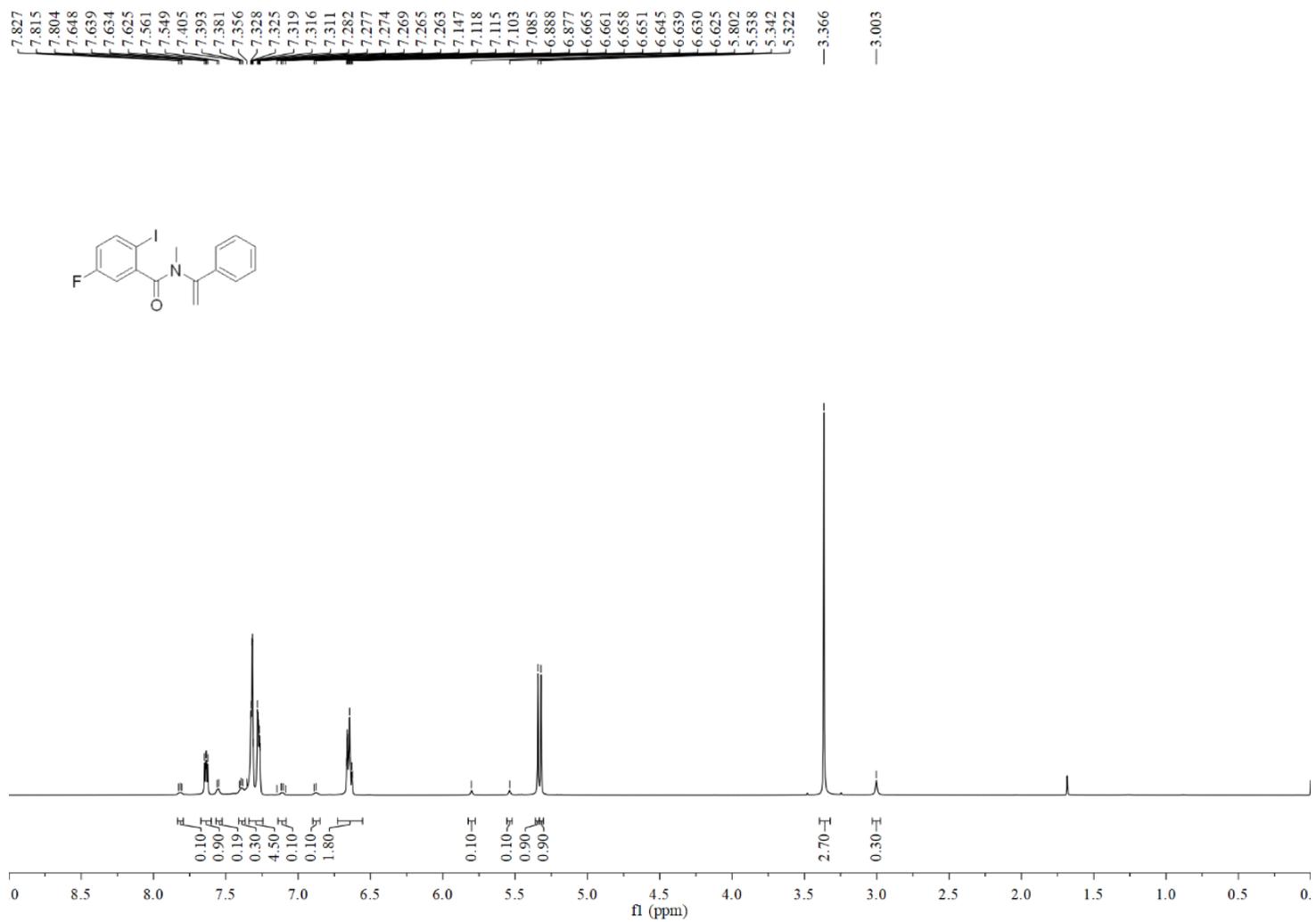


Figure S26. ¹H NMR spectrum of compound **1k** (600 MHz, CDCl₃)

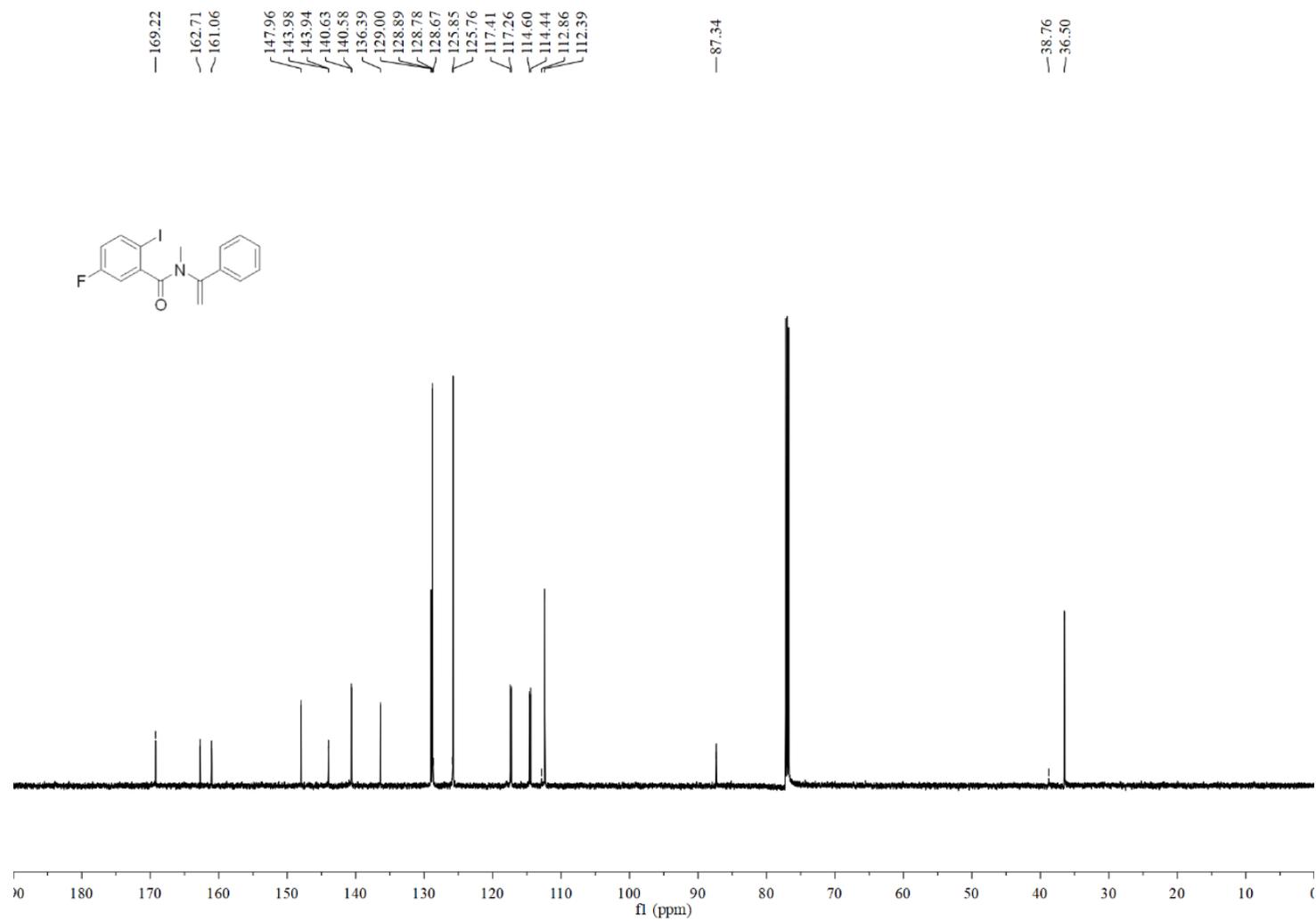


Figure S27. ^{13}C NMR spectrum of compound **1k** (150 MHz, CDCl_3)

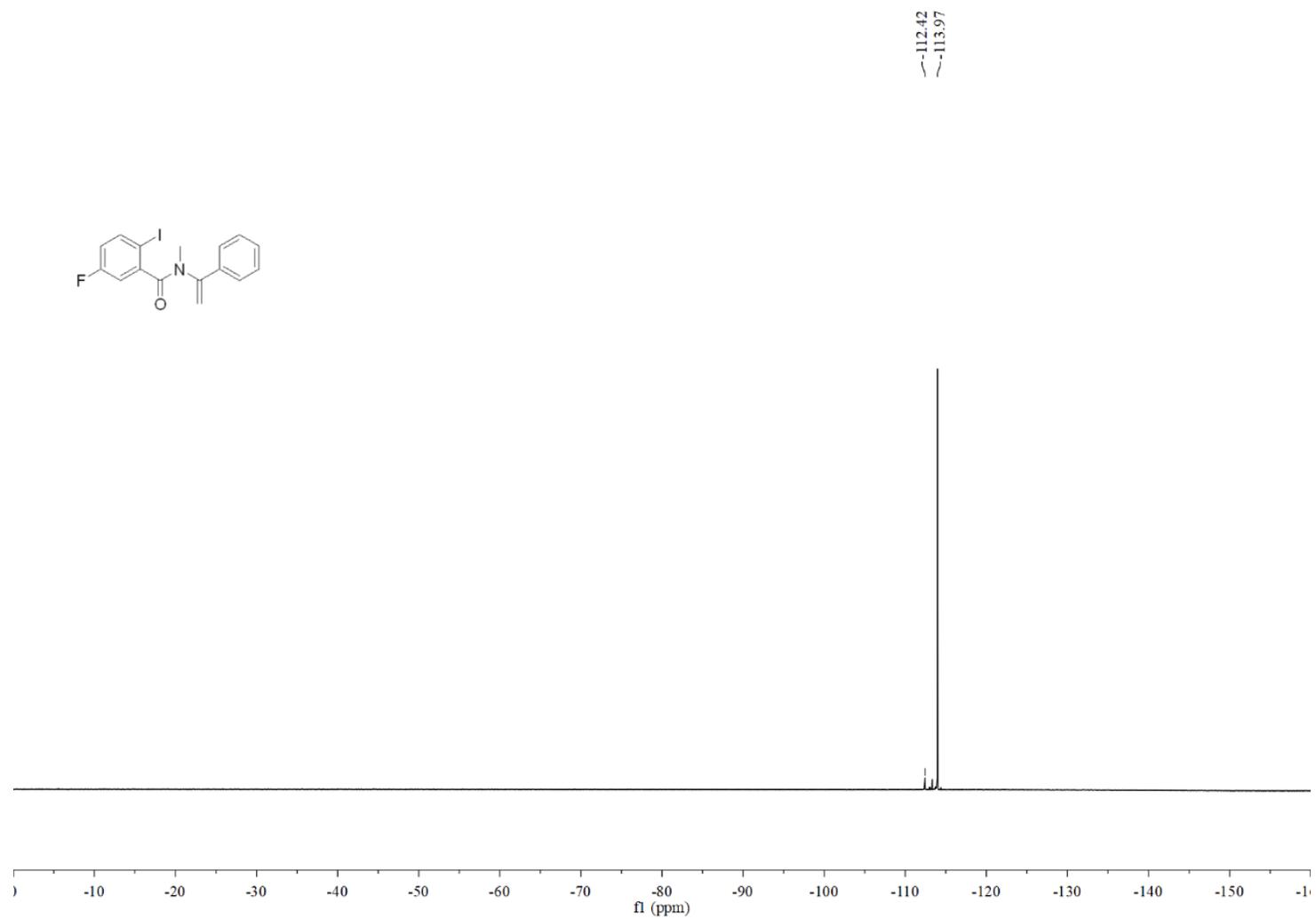


Figure S28. ^{19}F NMR spectrum of compound **1k** (377 MHz, CDCl_3)

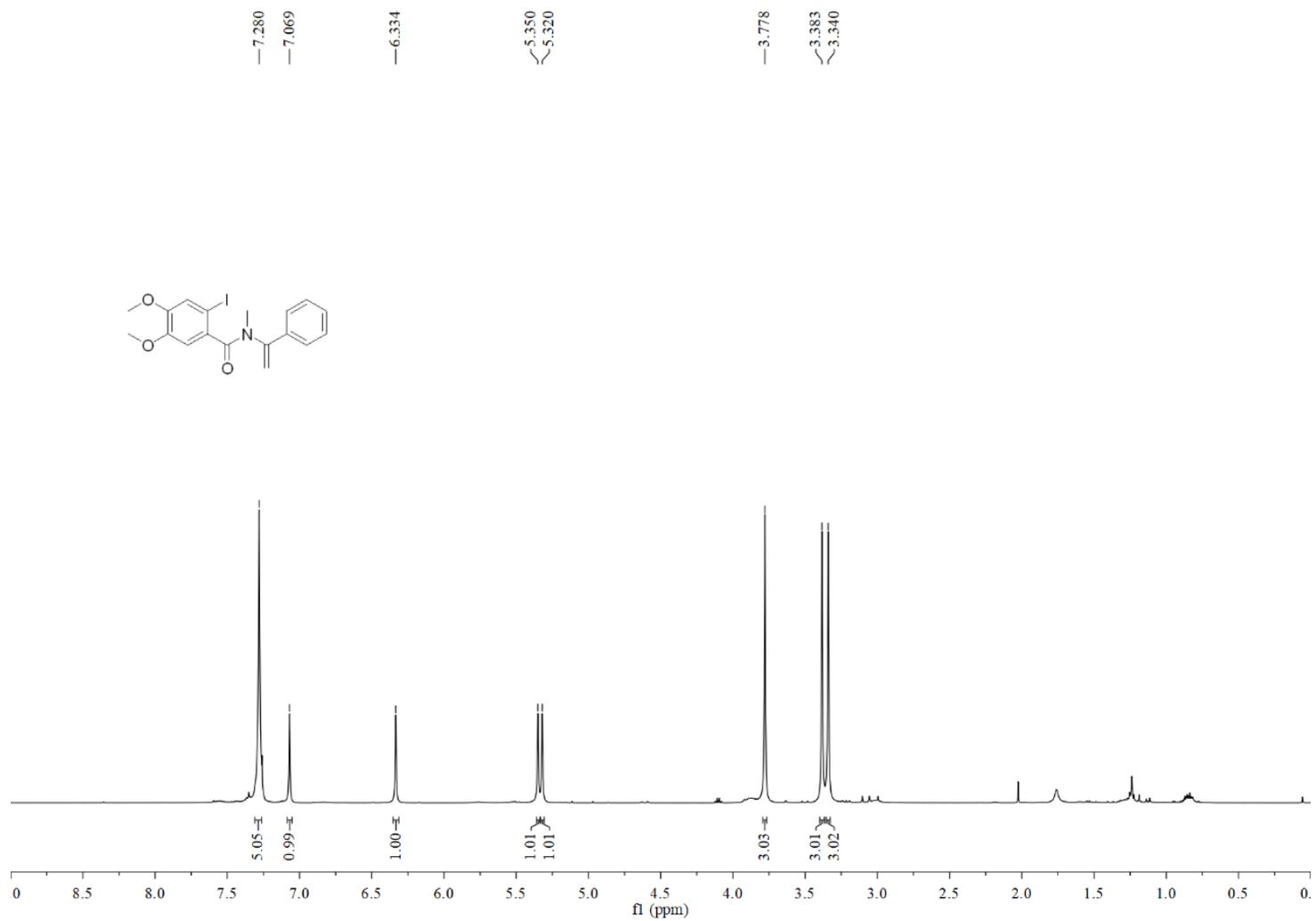


Figure S29. ¹H NMR spectrum of compound **11** (500 MHz, CDCl₃)

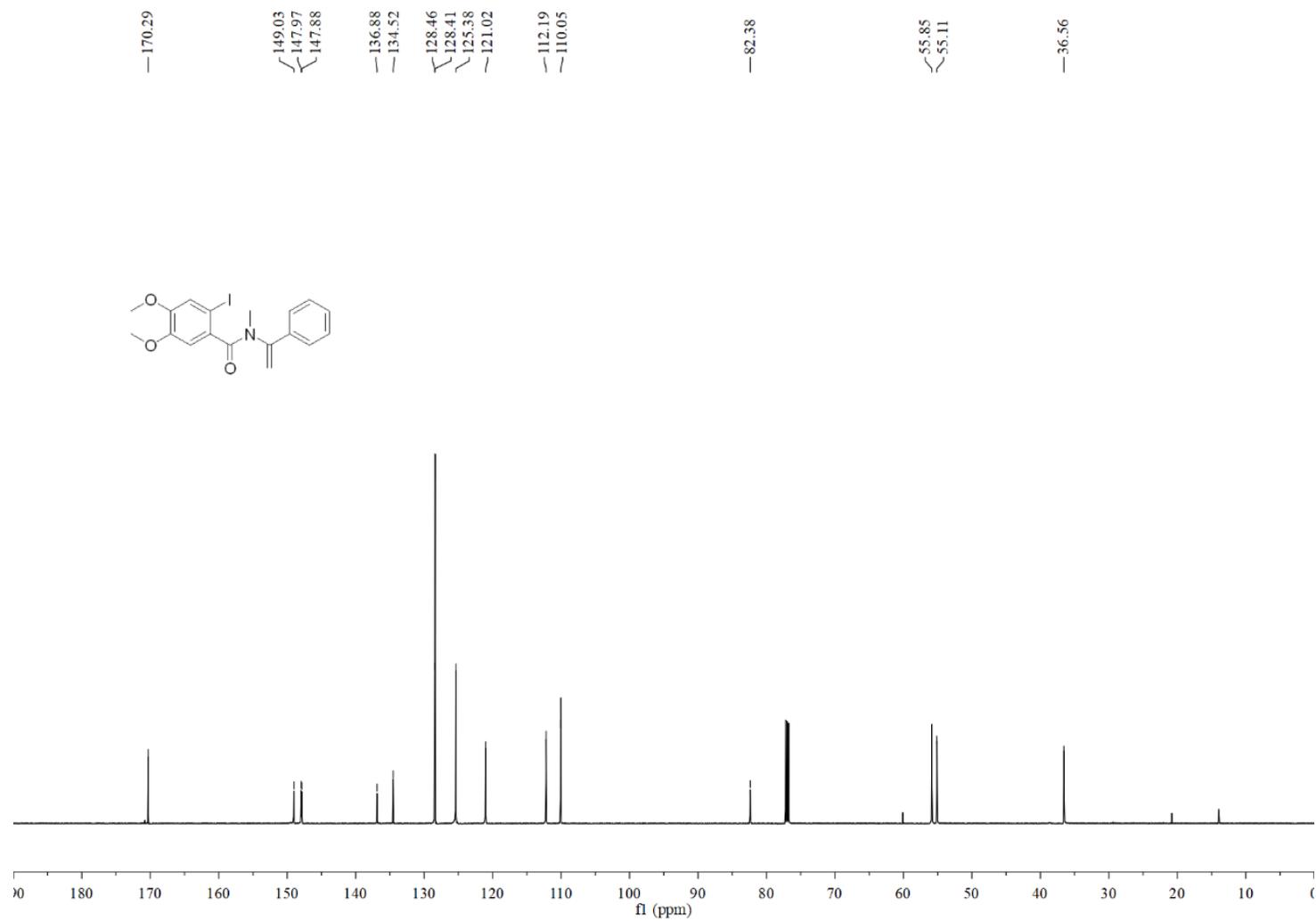


Figure S30. ^{13}C NMR spectrum of compound **11** (150 MHz, CDCl_3)

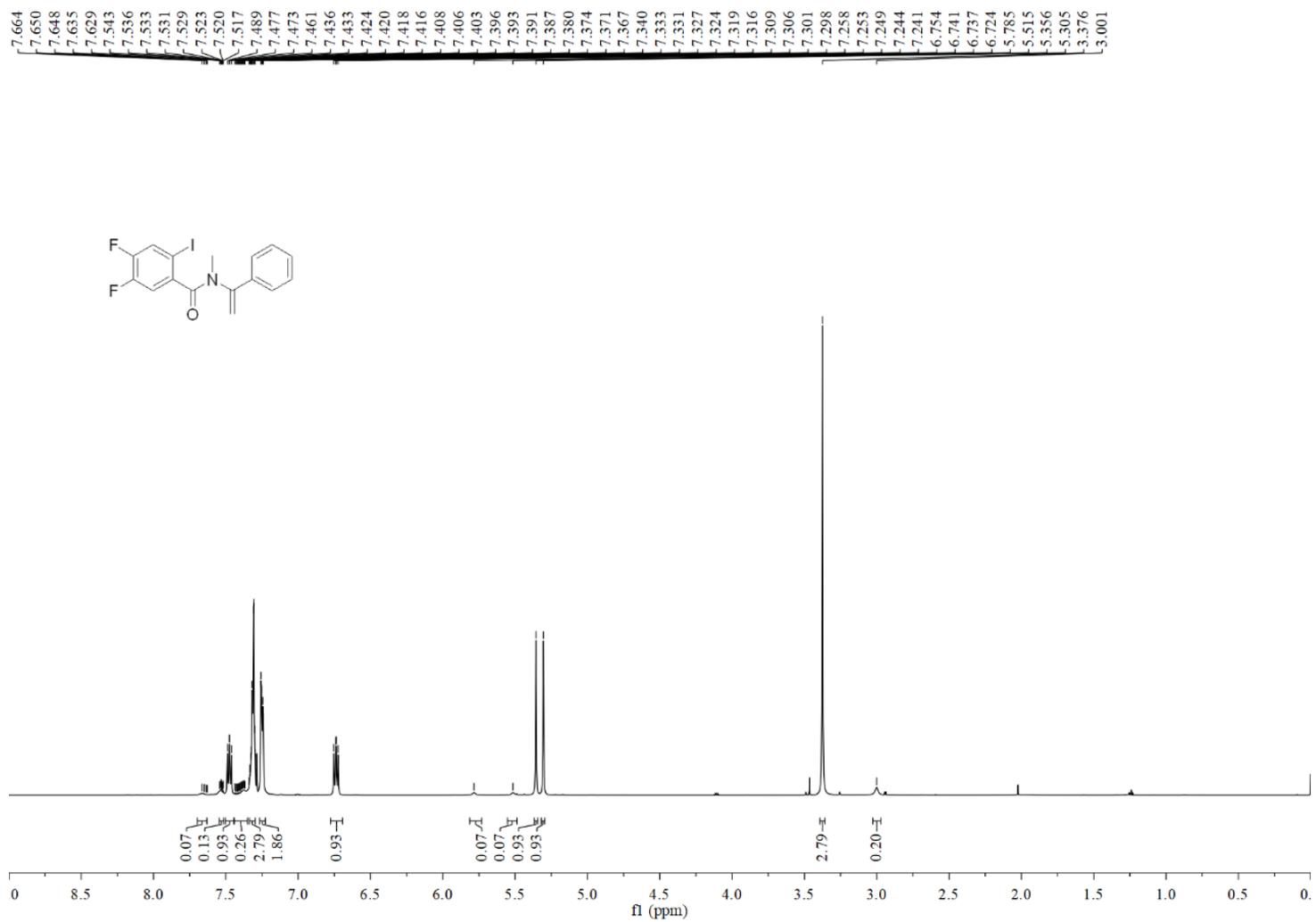


Figure S31. ¹H NMR spectrum of compound **1m** (600 MHz, CDCl₃)

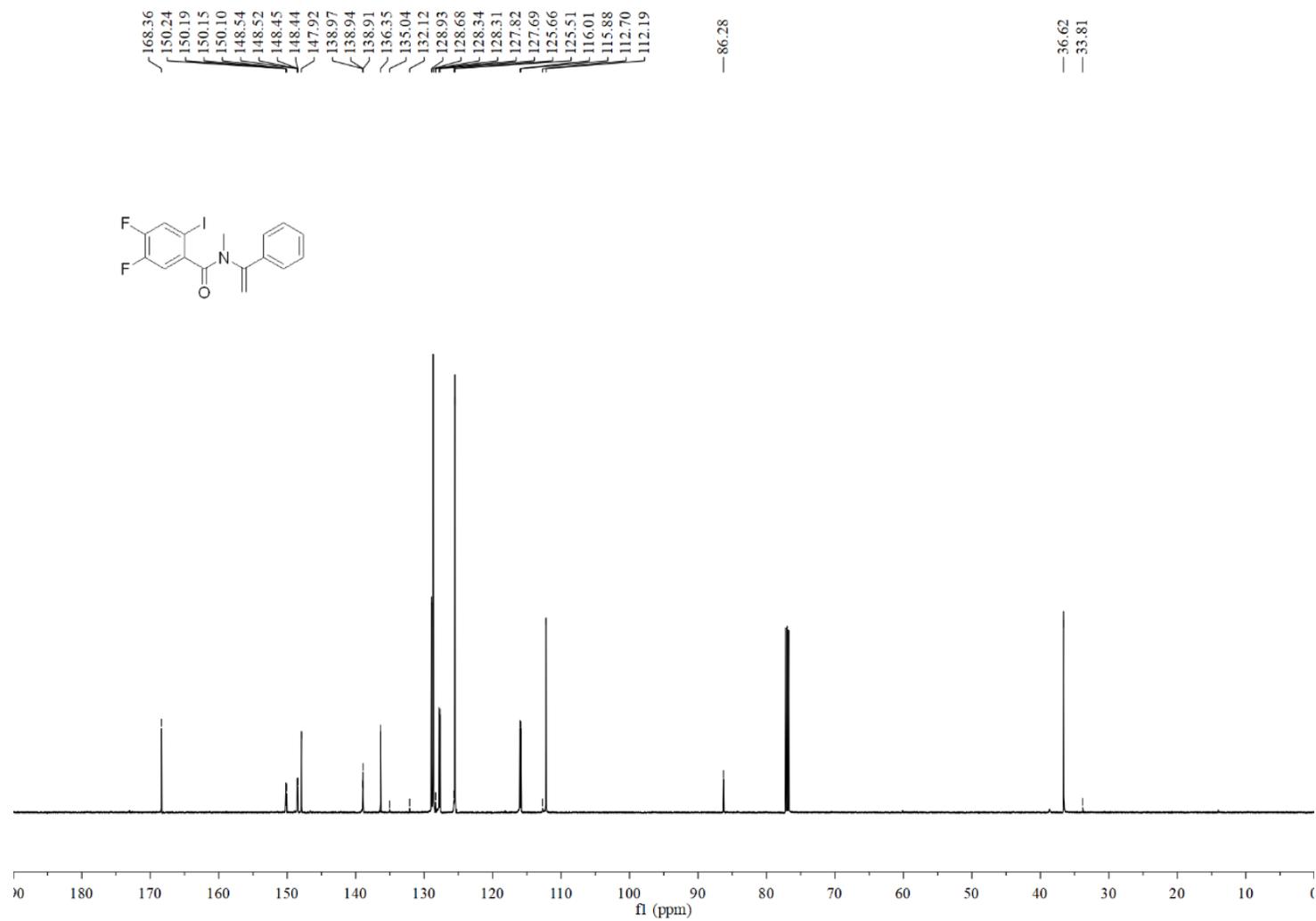


Figure S32. ^{13}C NMR spectrum of compound **1m** (150 MHz, CDCl_3)

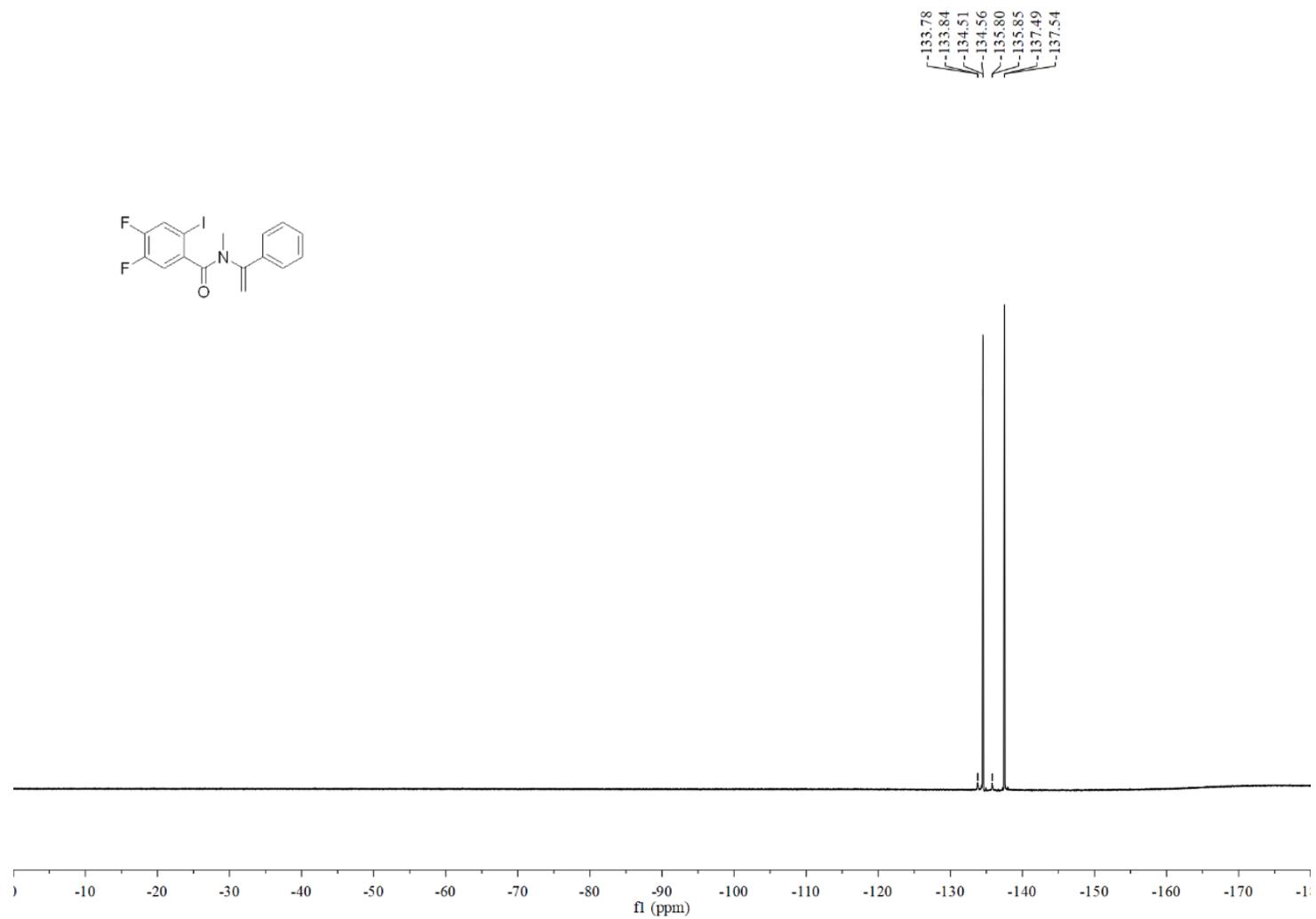


Figure S33. ¹³C NMR spectrum of compound **1m** (377 MHz, CDCl₃)

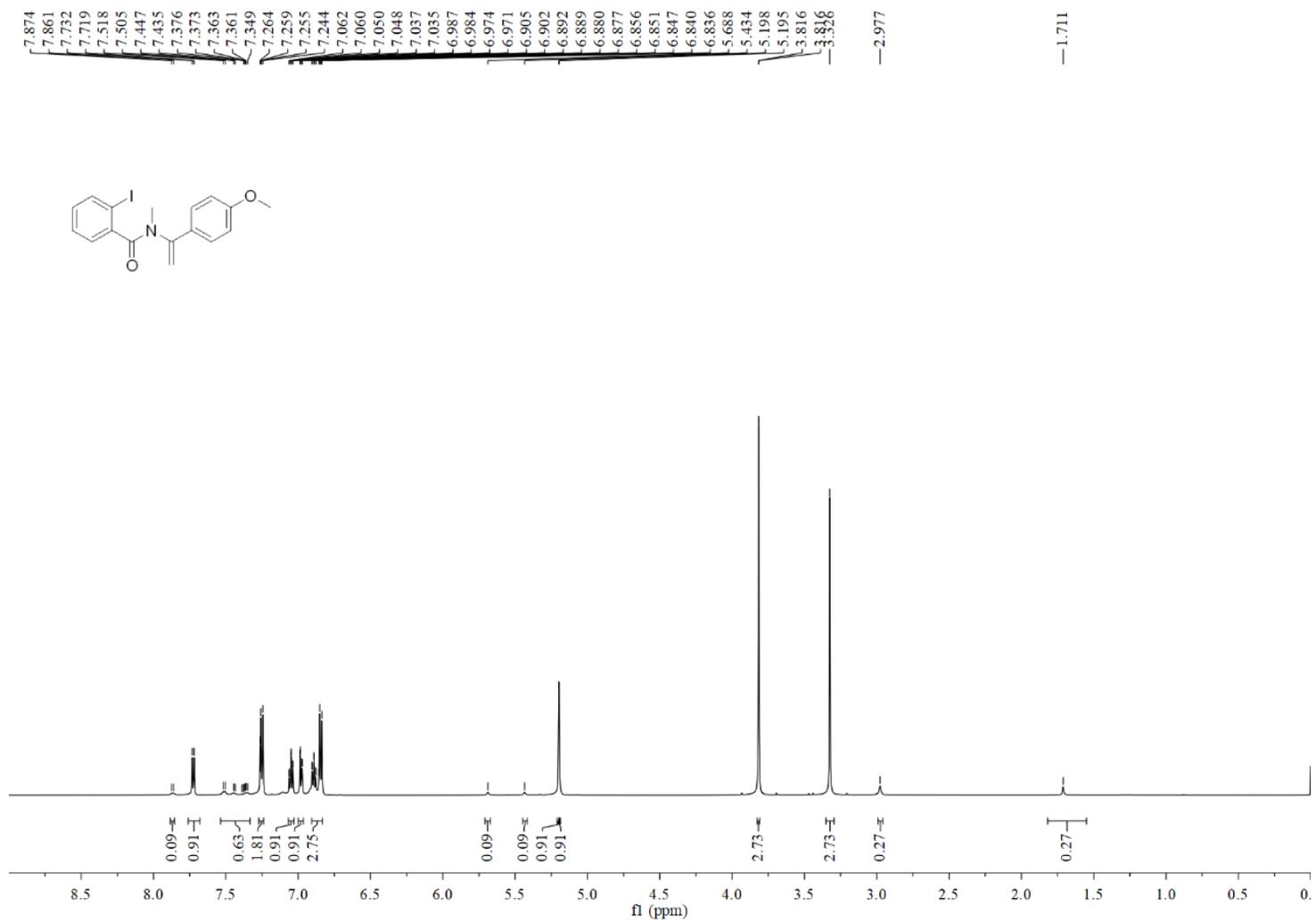


Figure S34. ¹H NMR spectrum of compound **1n** (600 MHz, CDCl₃)

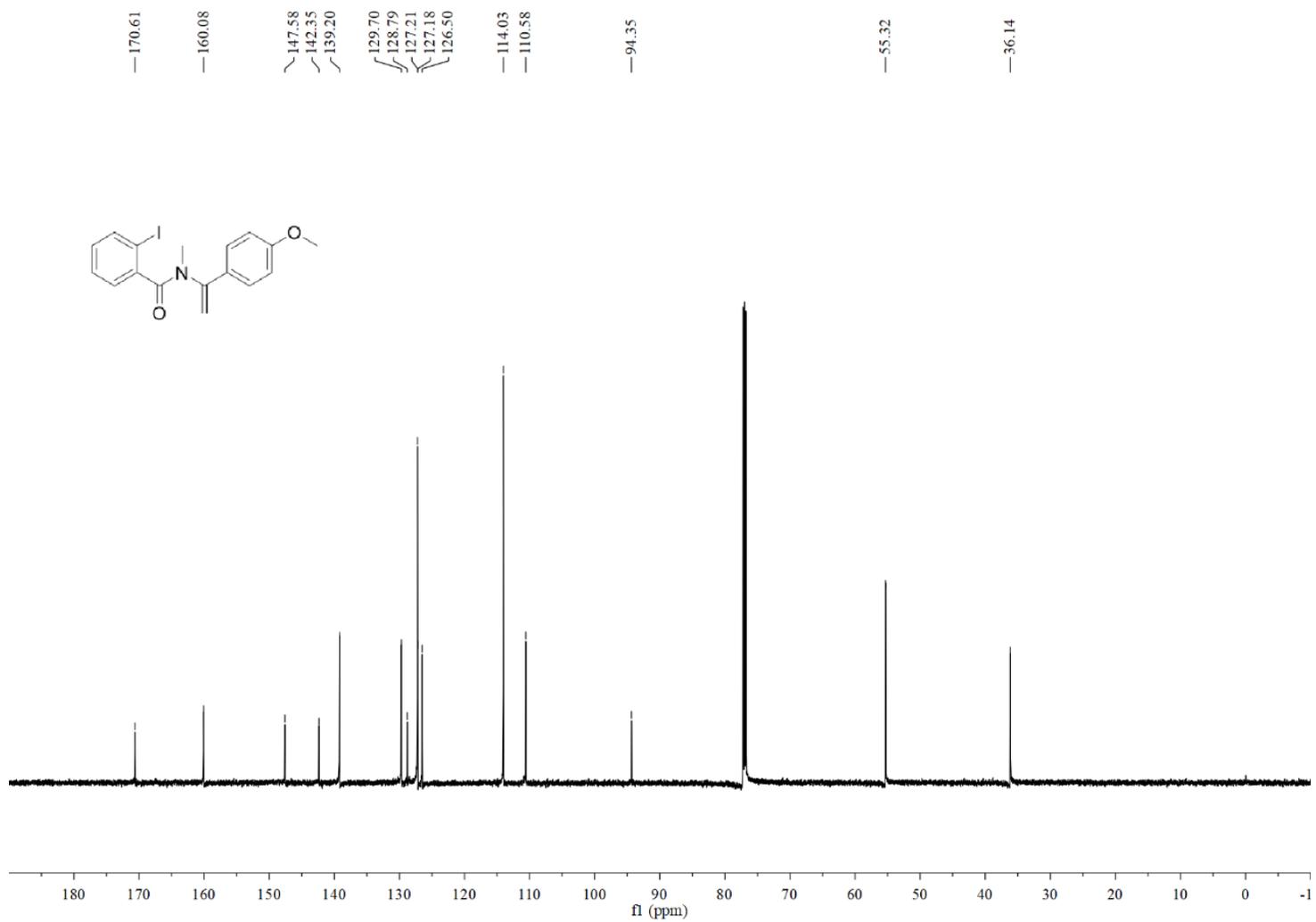


Figure S35. ^{13}C NMR spectrum of compound **1n** (150 MHz, CDCl_3)

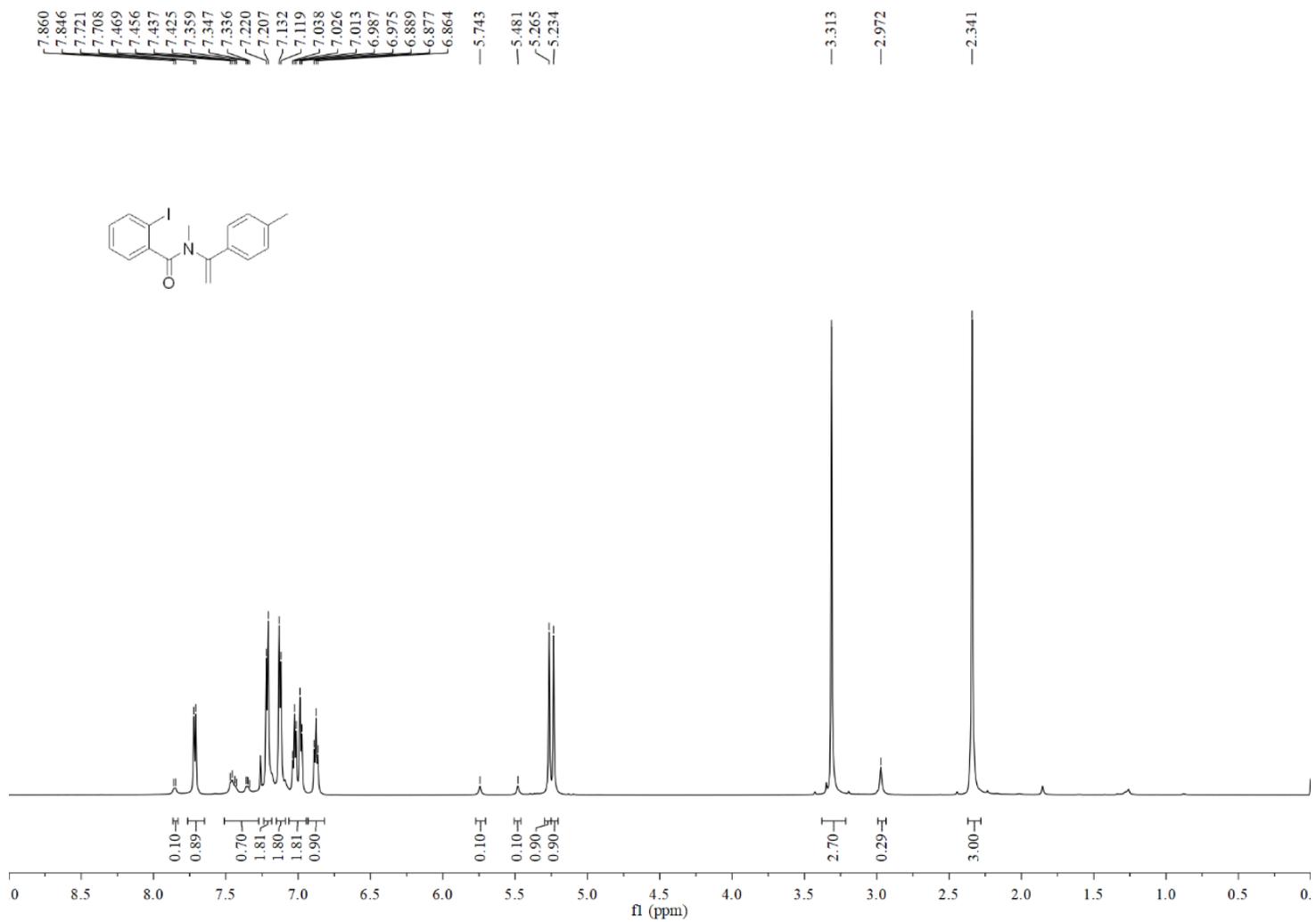


Figure S36. ¹H NMR spectrum of compound **1o** (600 MHz, CDCl₃)

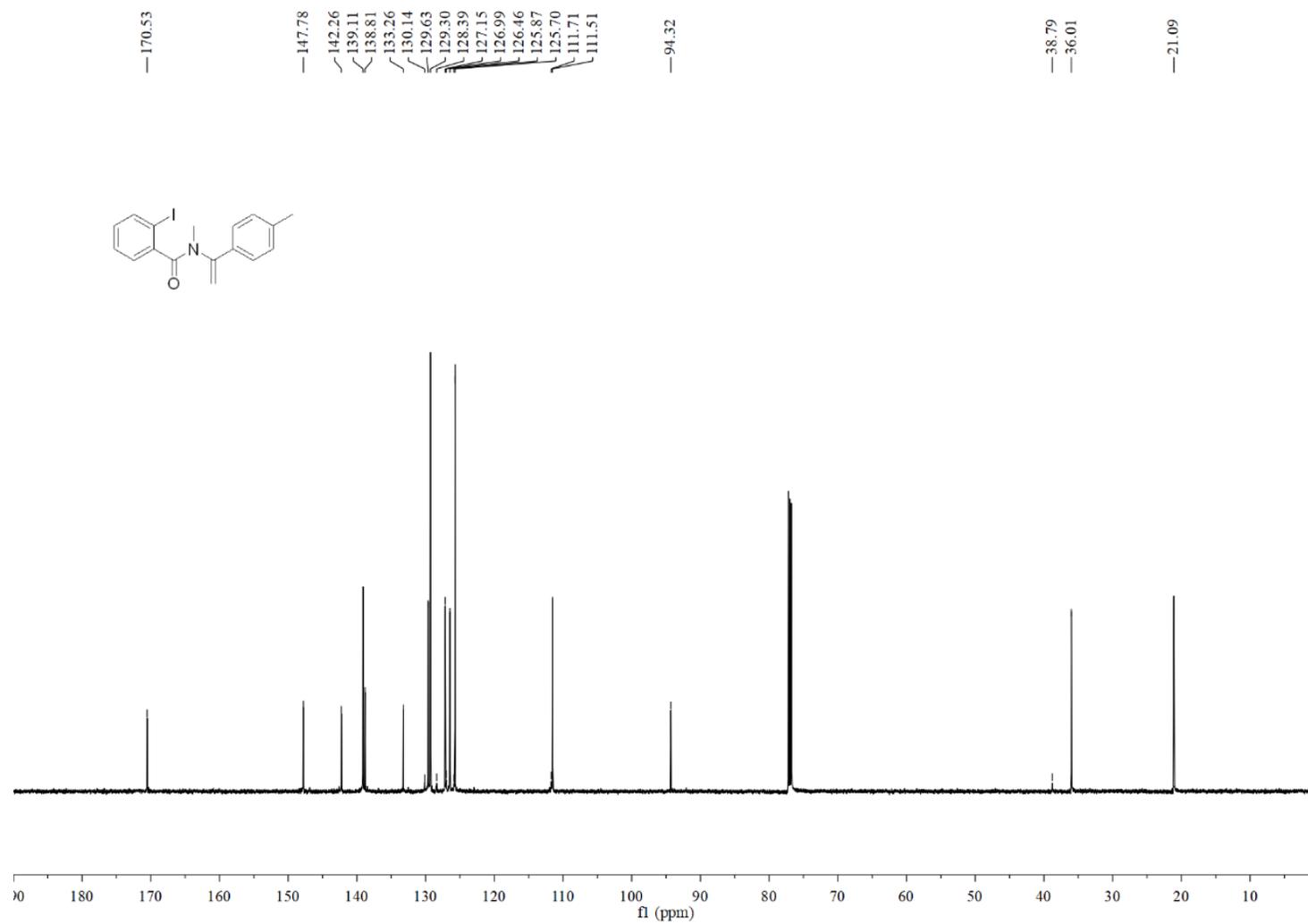


Figure S37. ¹³C NMR spectrum of compound **1o** (150 MHz, CDCl₃)

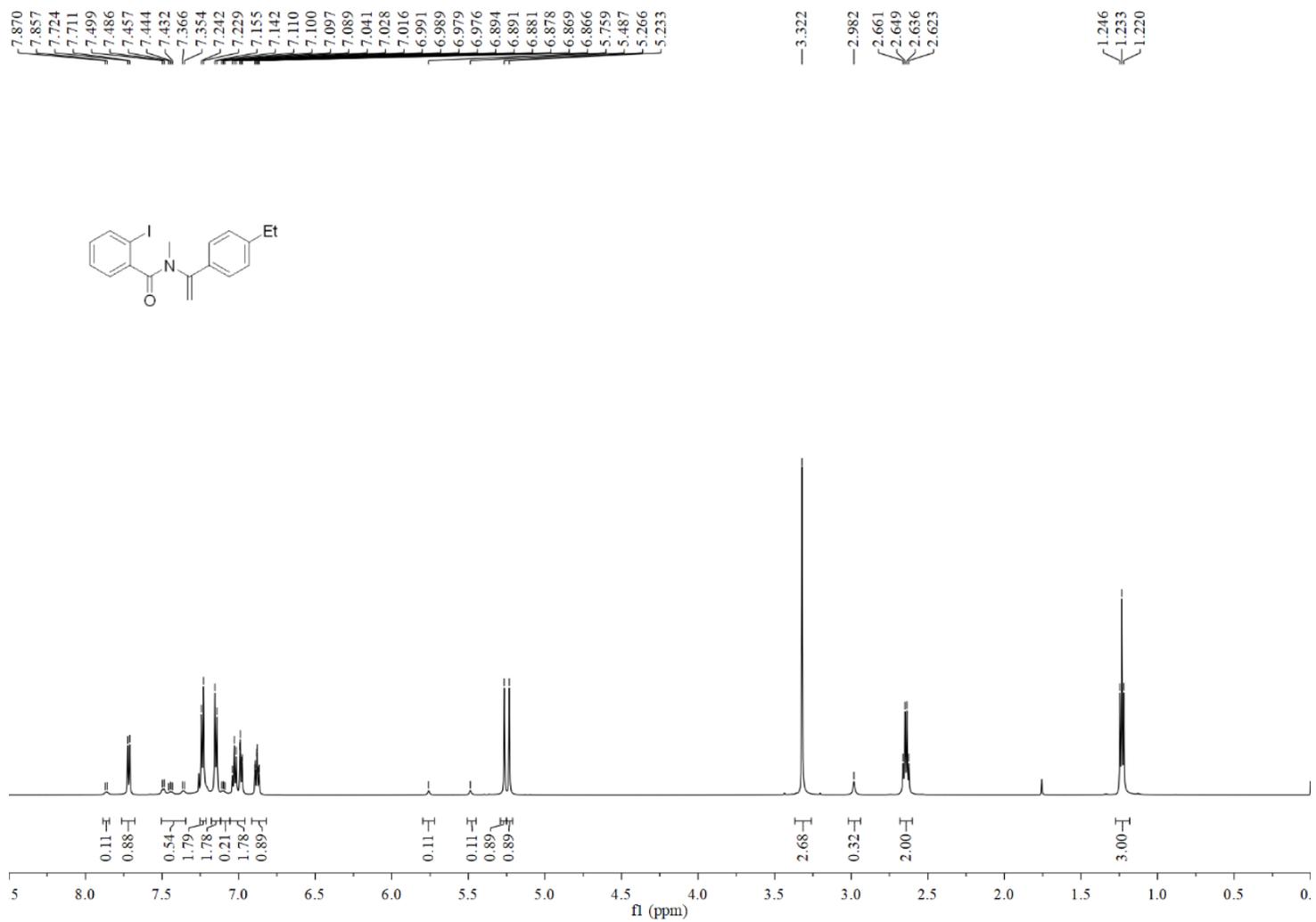


Figure S38. ¹H NMR spectrum of compound **1p** (600 MHz, CDCl₃)

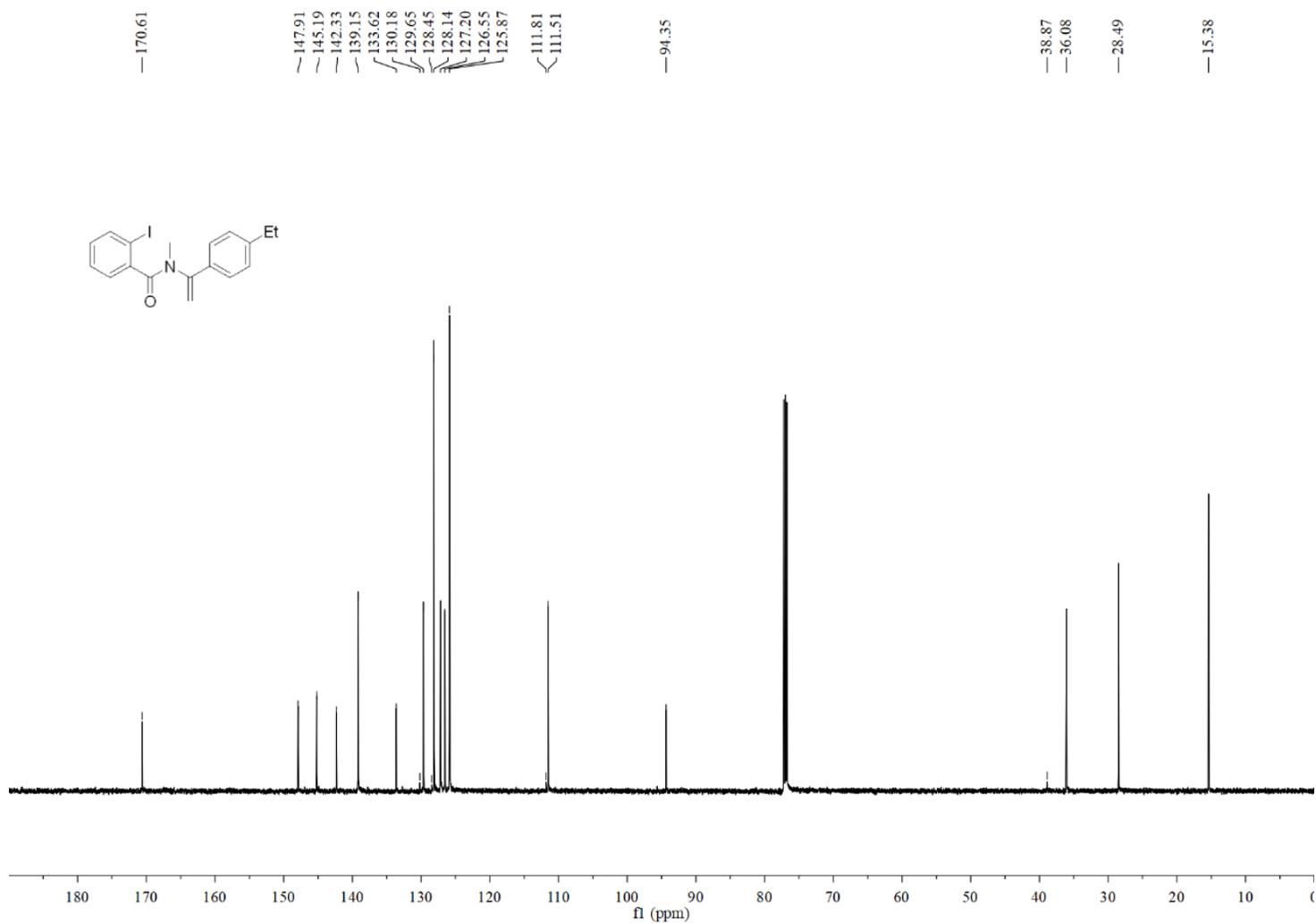


Figure S39. ¹³C NMR spectrum of compound **1p** (150 MHz, CDCl₃)

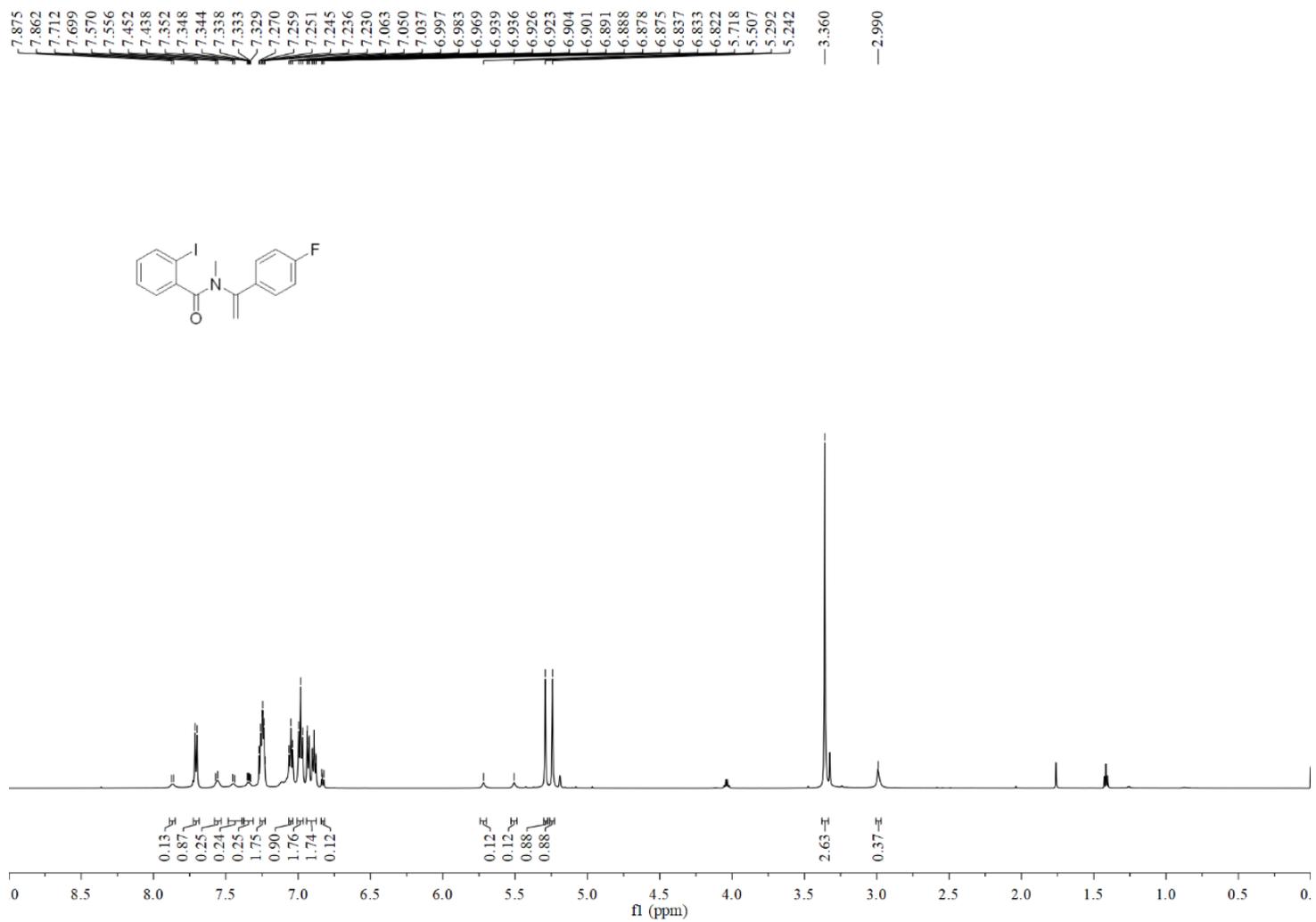


Figure S340. ¹H NMR spectrum of compound **1q** (600 MHz, CDCl₃)

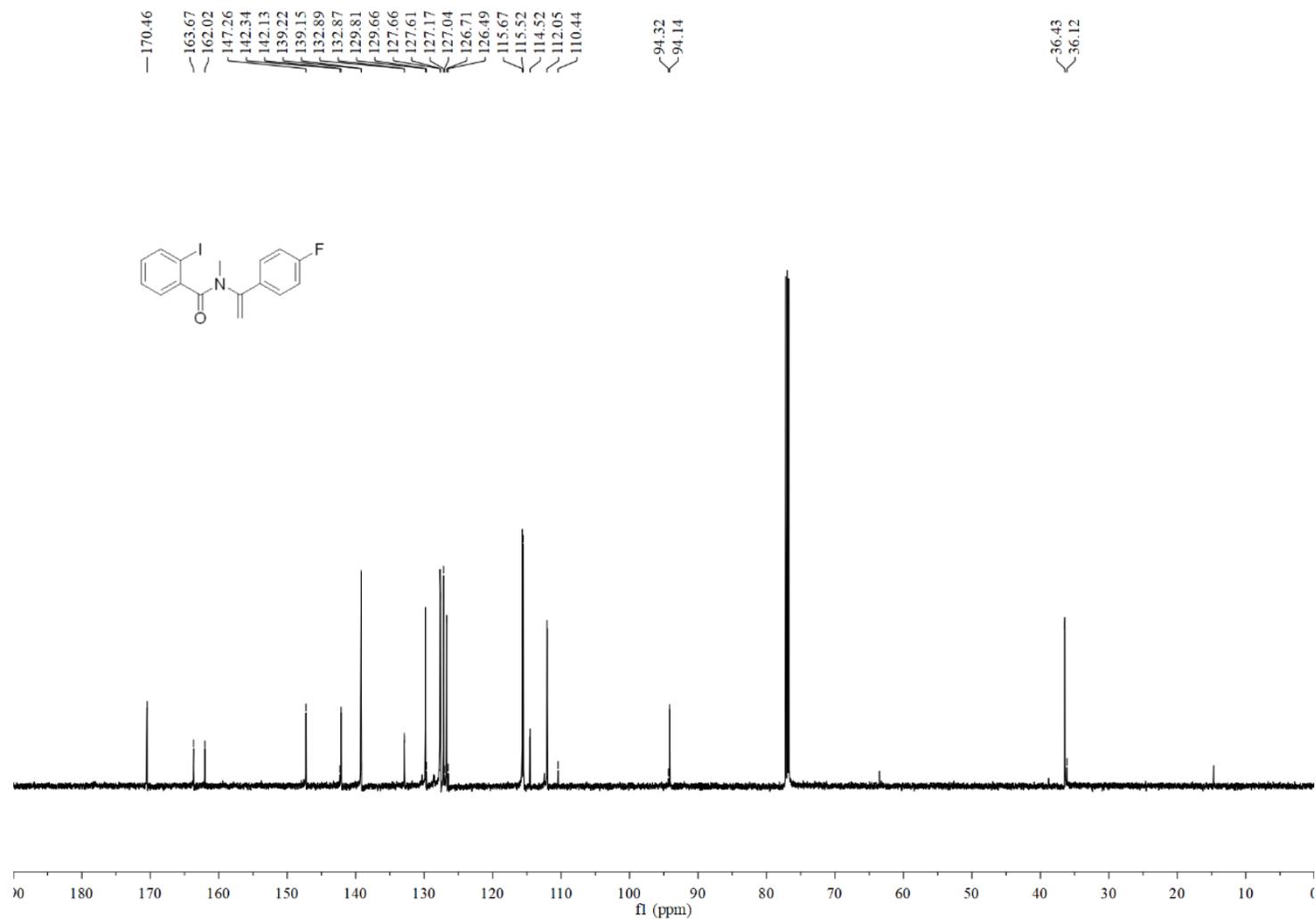


Figure S41. ^{13}C NMR spectrum of compound **1q** (150 MHz, CDCl_3)

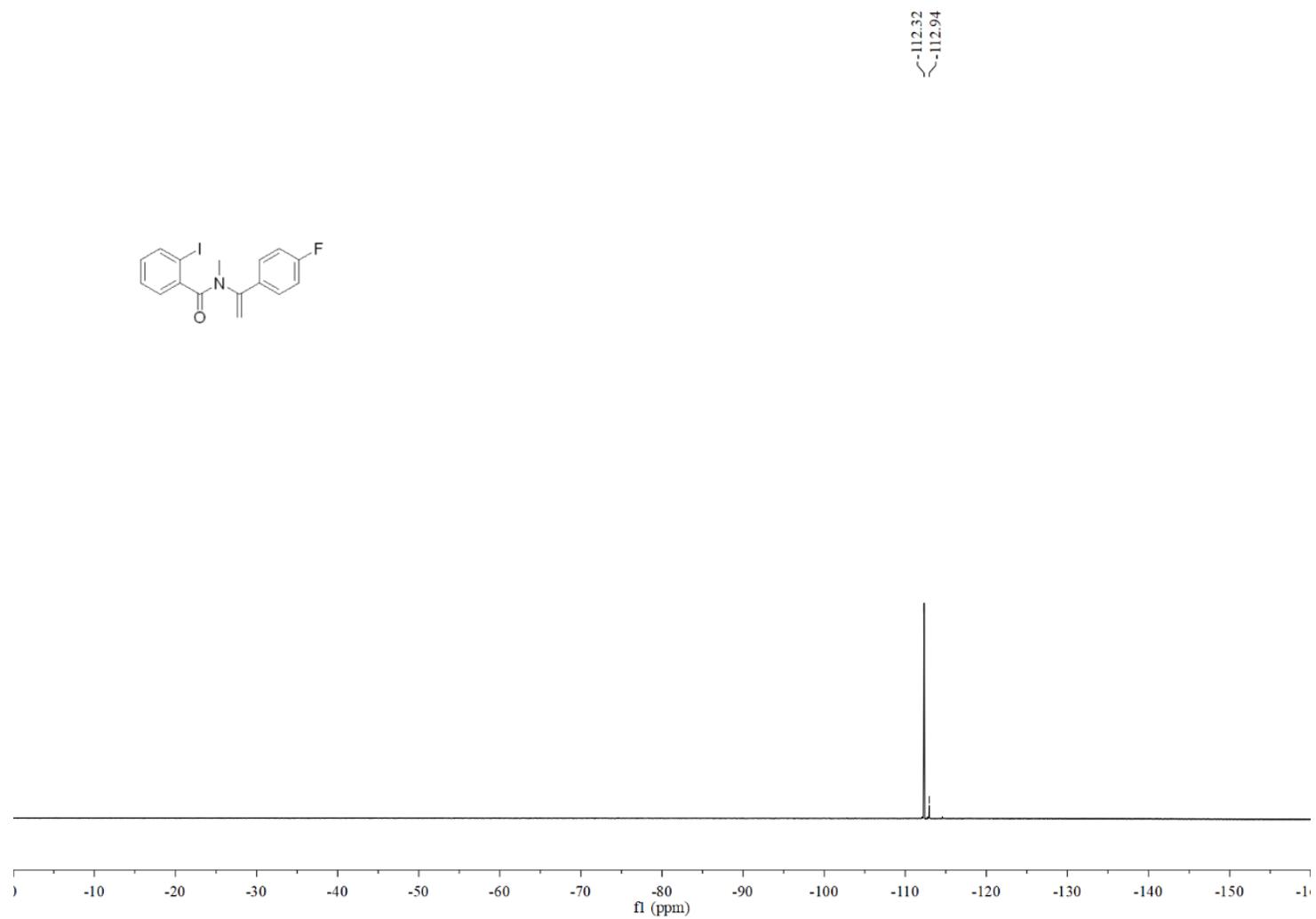


Figure S42. ^{19}F NMR spectrum of compound **1q** (377 MHz, CDCl_3)

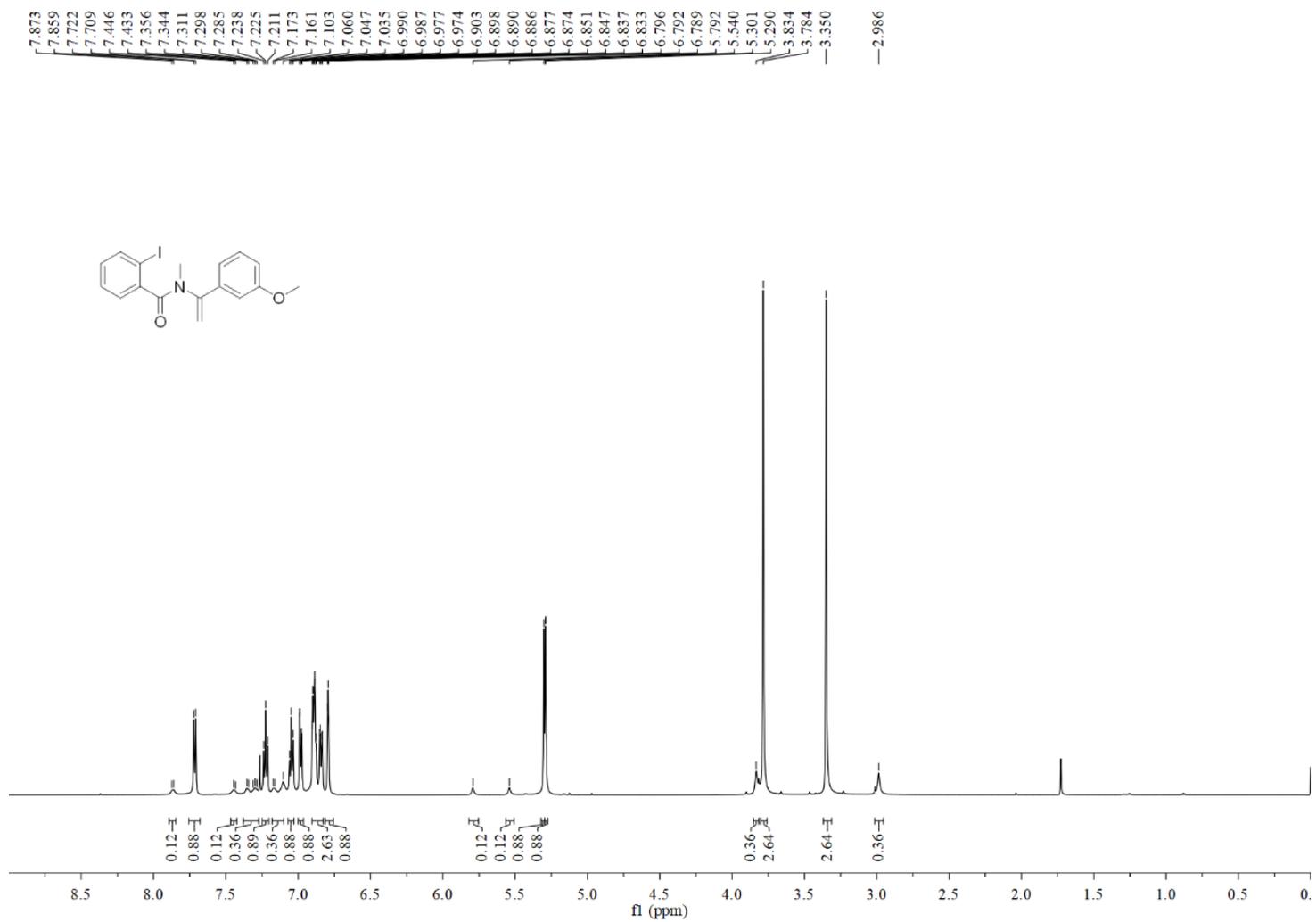


Figure S43. ¹H NMR spectrum of compound **1r** (600 MHz, CDCl₃)

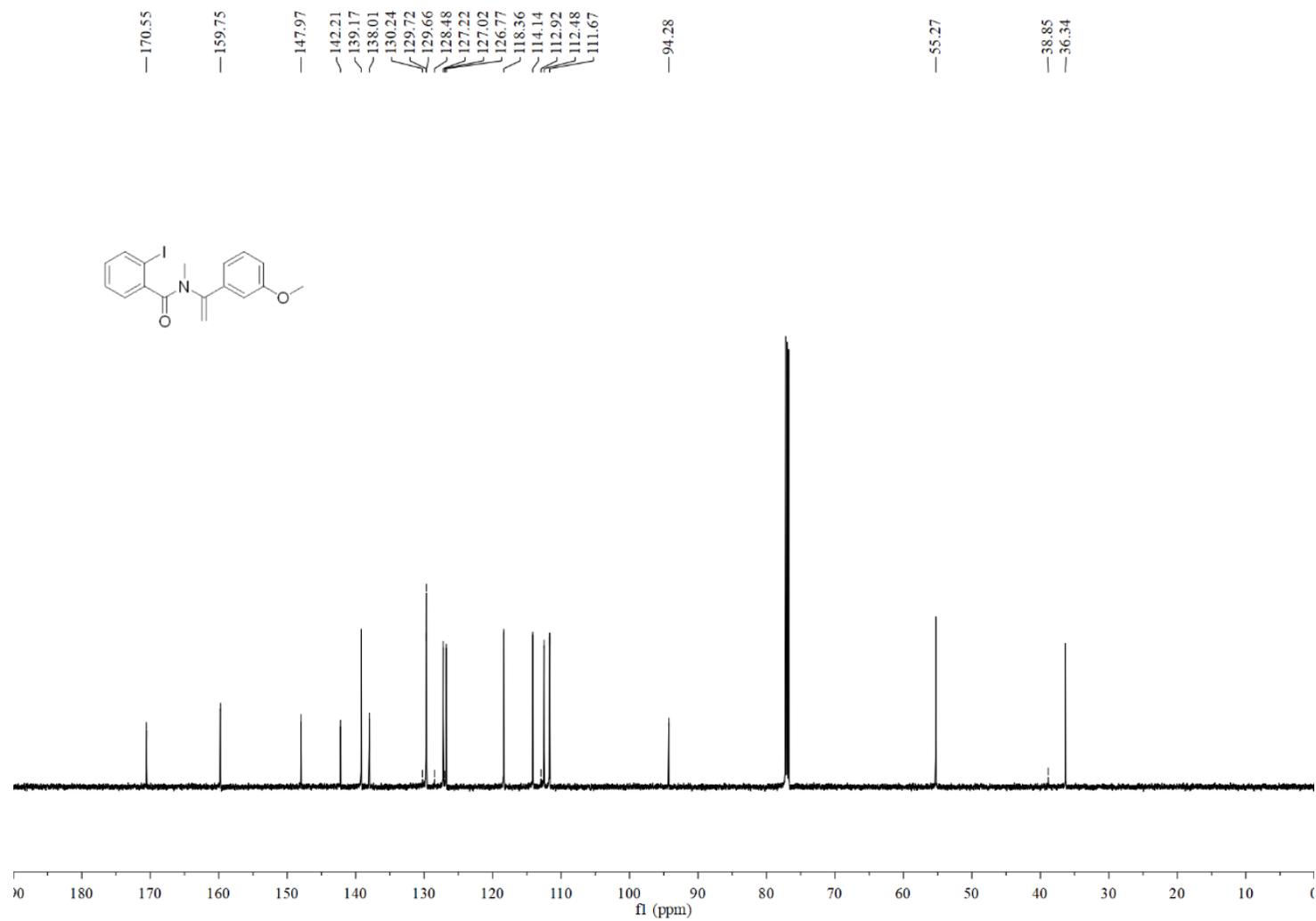


Figure S44. ^{13}C NMR spectrum of compound **1r** (150 MHz, CDCl_3)

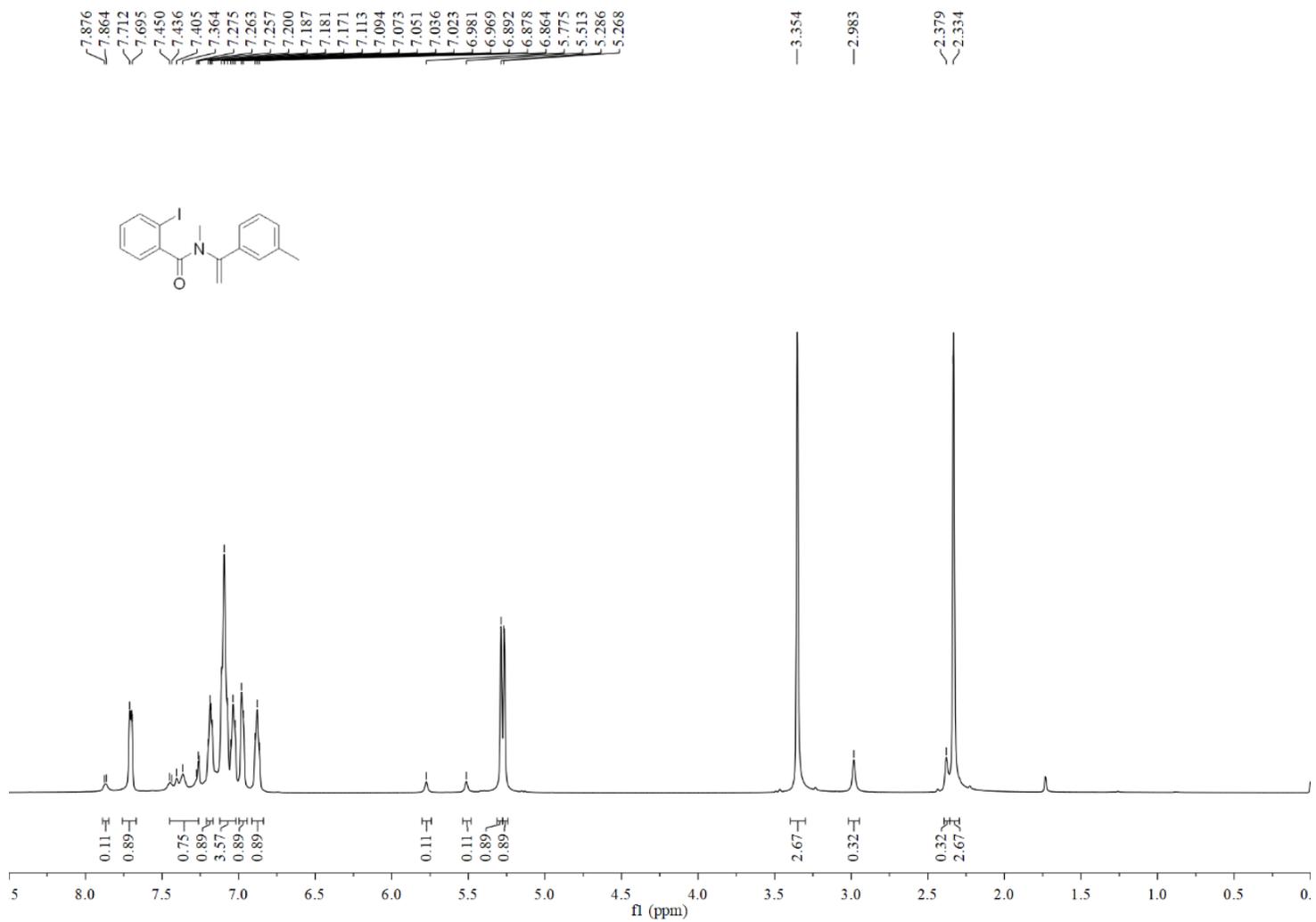


Figure S45. ¹H NMR spectrum of compound **1s** (600 MHz, CDCl₃)

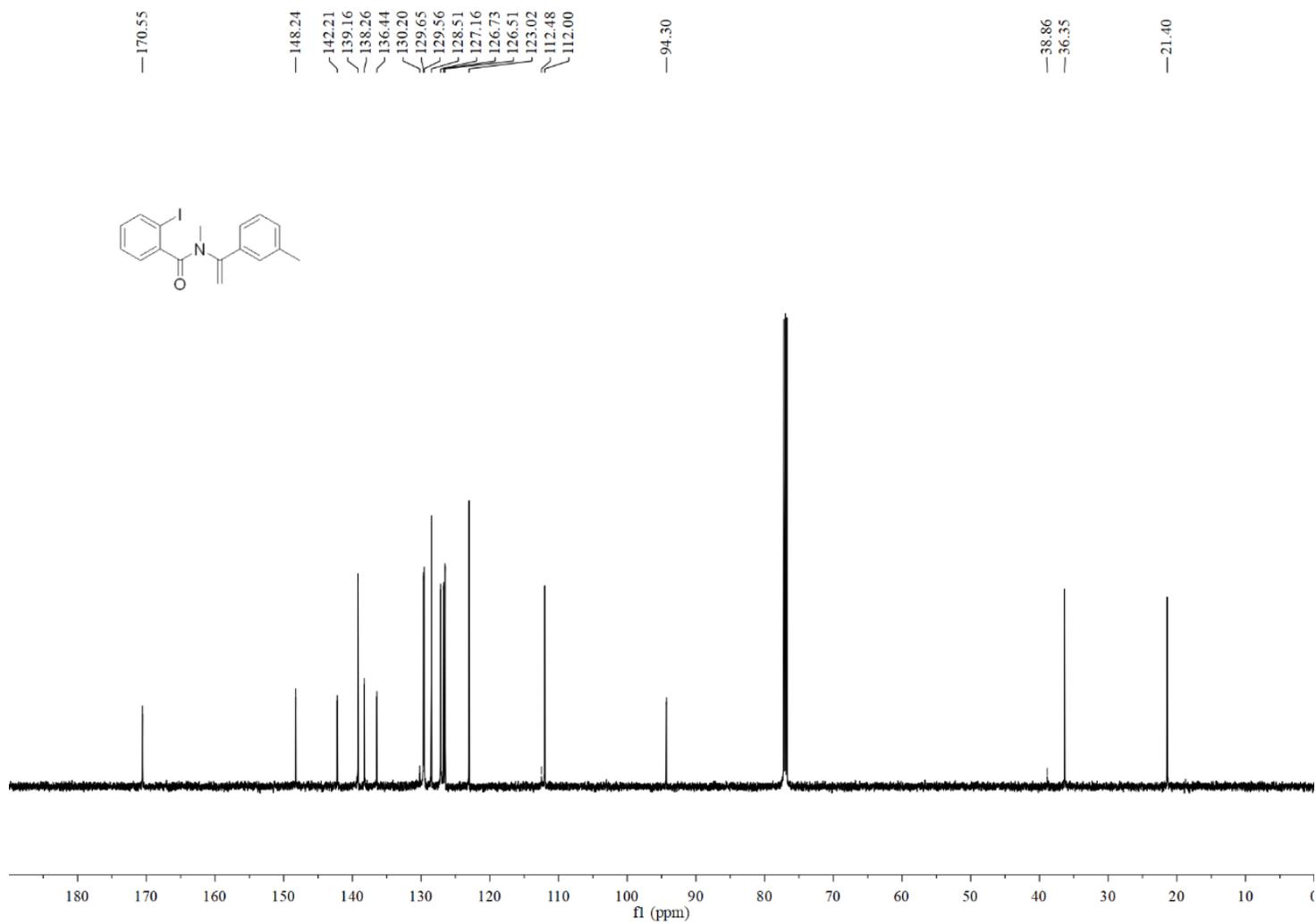


Figure S46. ^{13}C NMR spectrum of compound **1s** (150 MHz, CDCl_3)

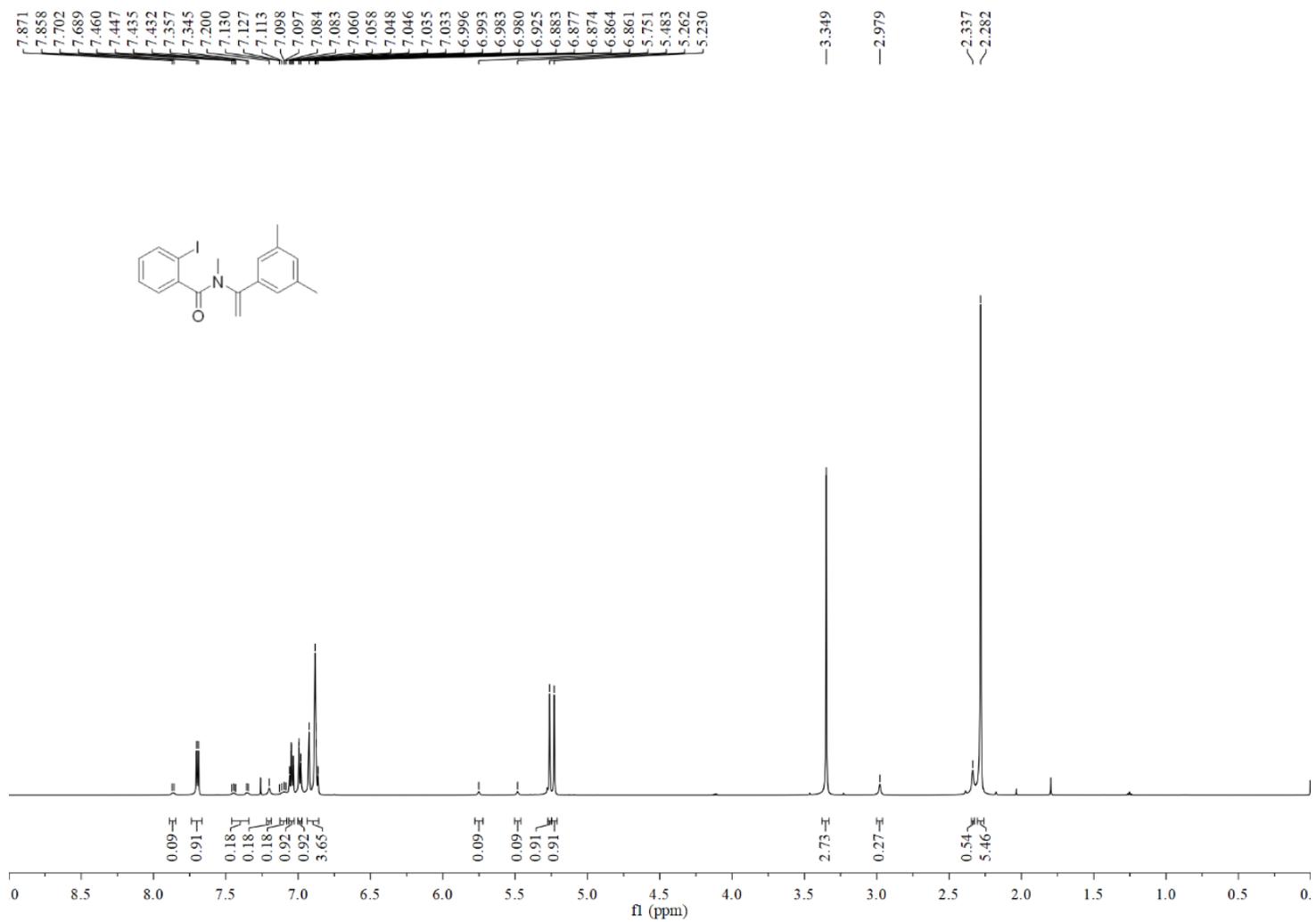


Figure S47. ^1H NMR spectrum of compound **1t** (600 MHz, CDCl_3)

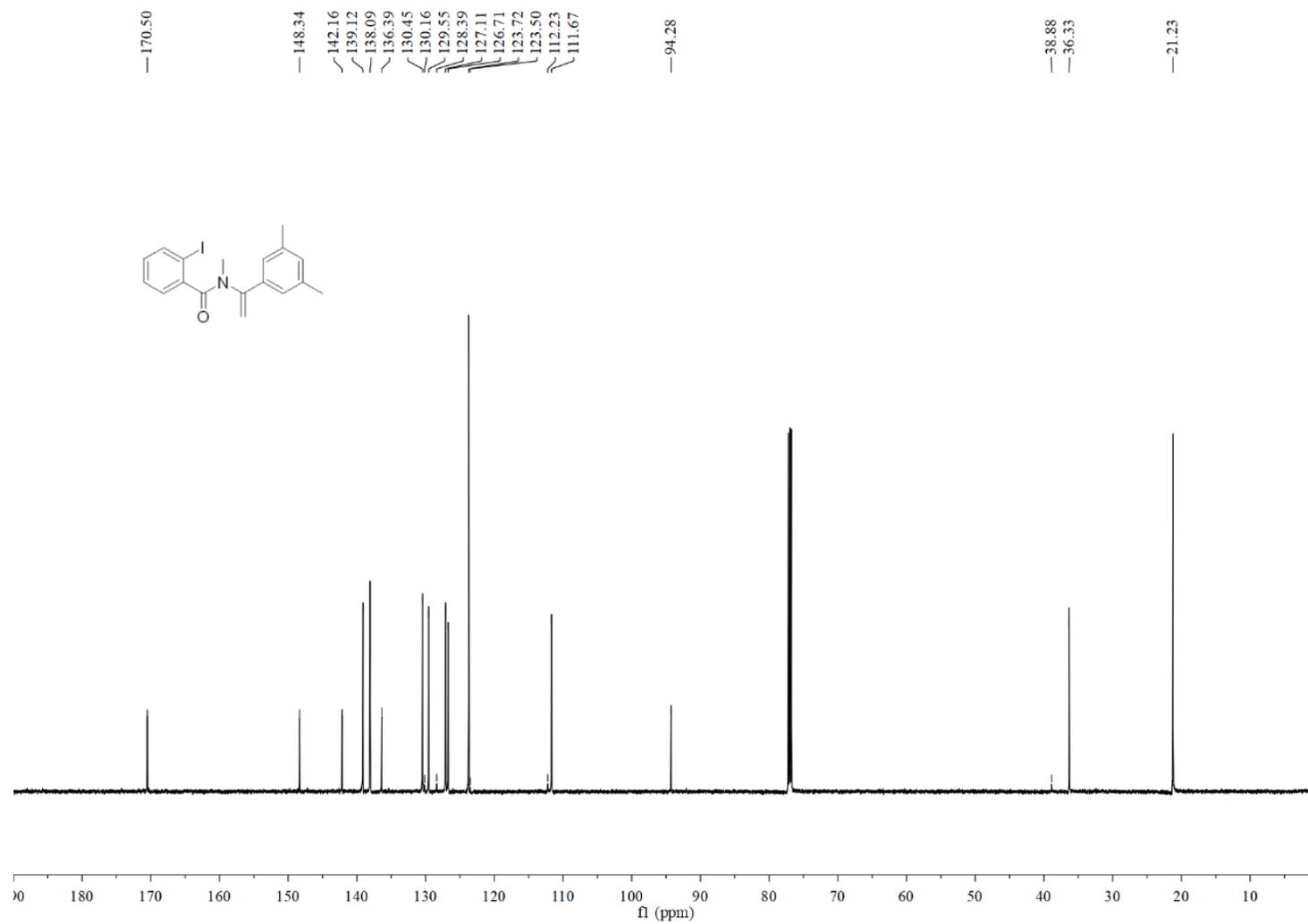


Figure S48. ^{13}C NMR spectrum of compound **1t** (150 MHz, CDCl_3)

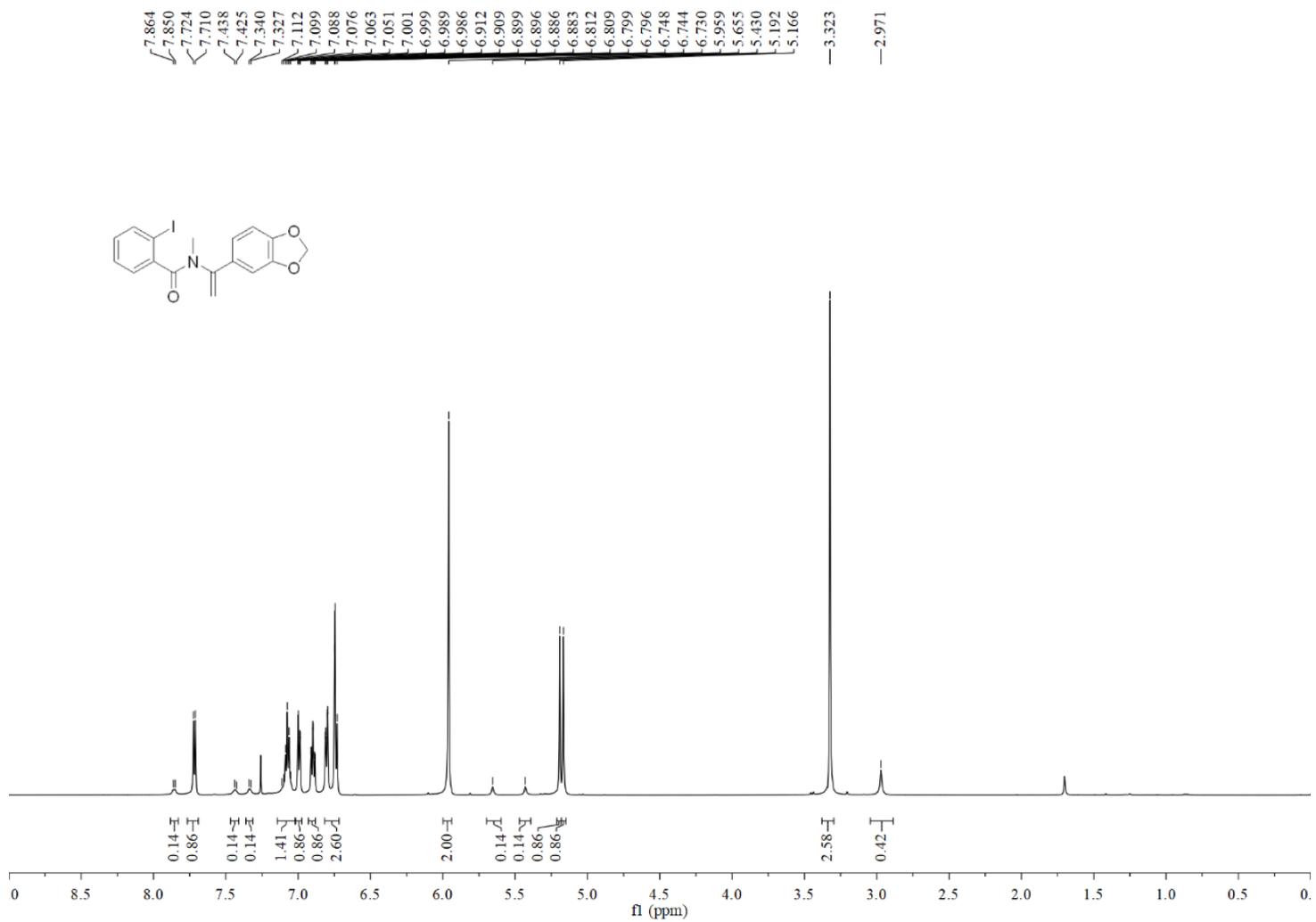


Figure S49. ¹H NMR spectrum of compound **1u** (600 MHz, CDCl₃)

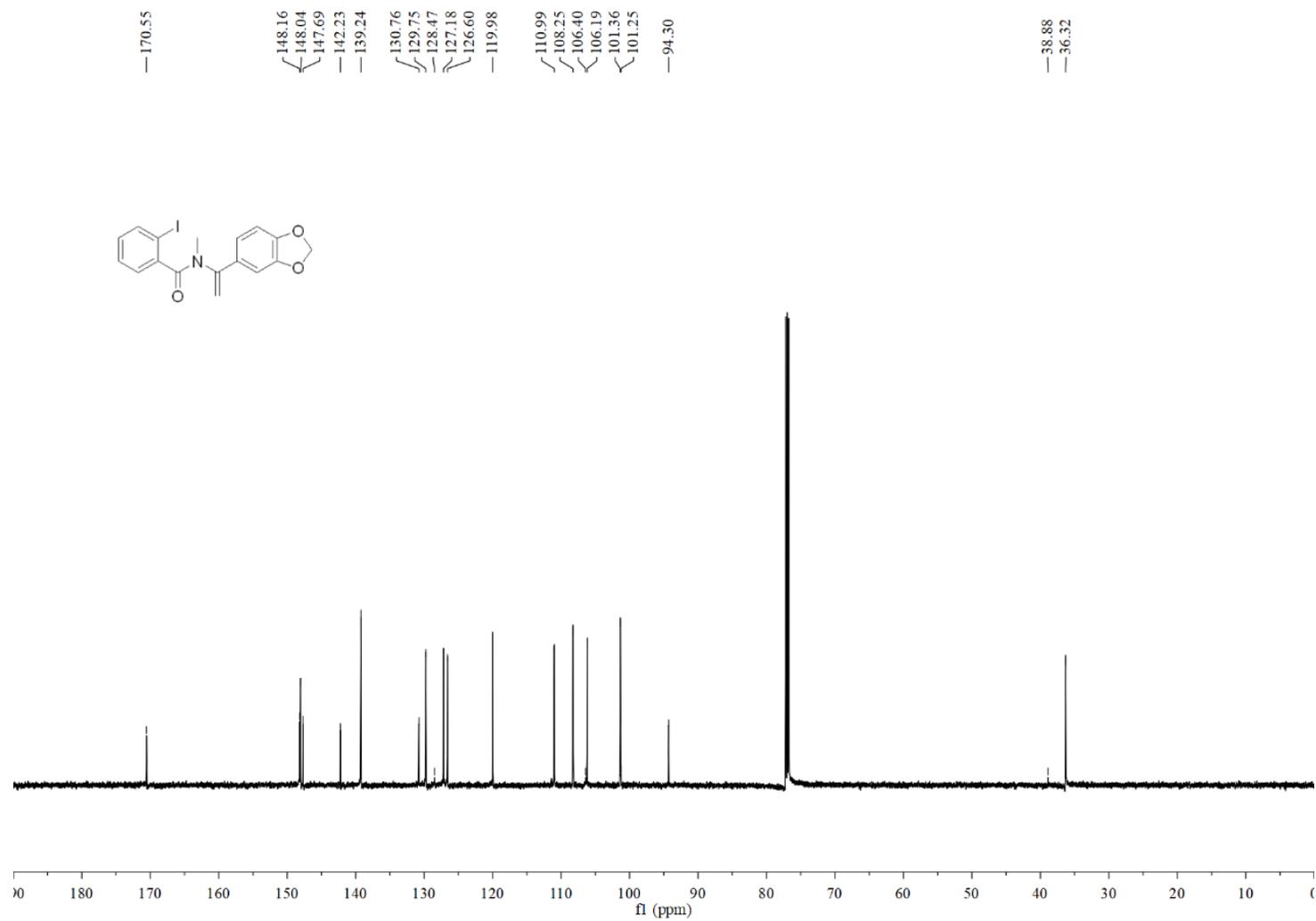


Figure S50. ¹³C NMR spectrum of compound **1u** (150 MHz, CDCl₃)

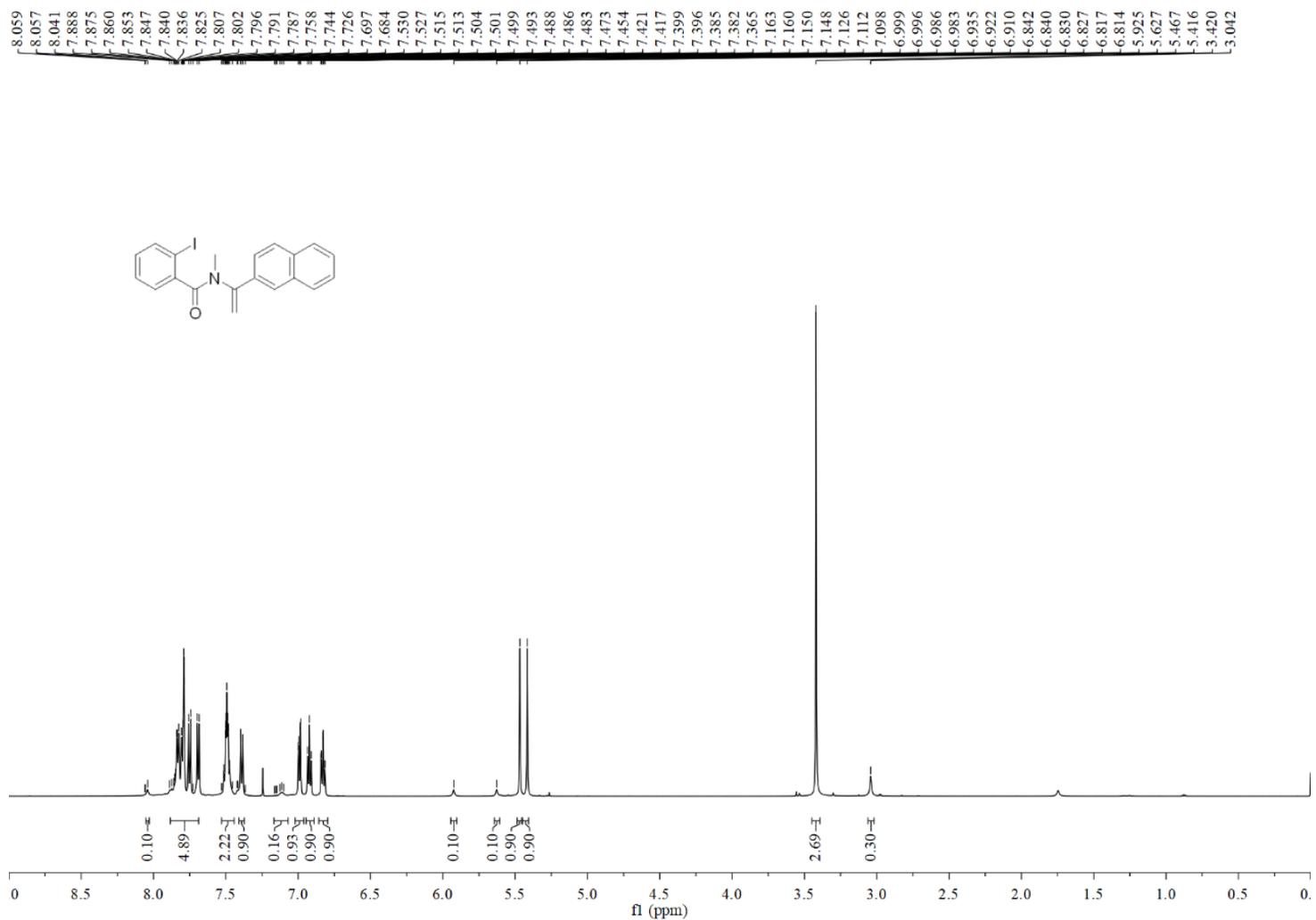


Figure S51. ¹H NMR spectrum of compound **1v** (600 MHz, CDCl₃)

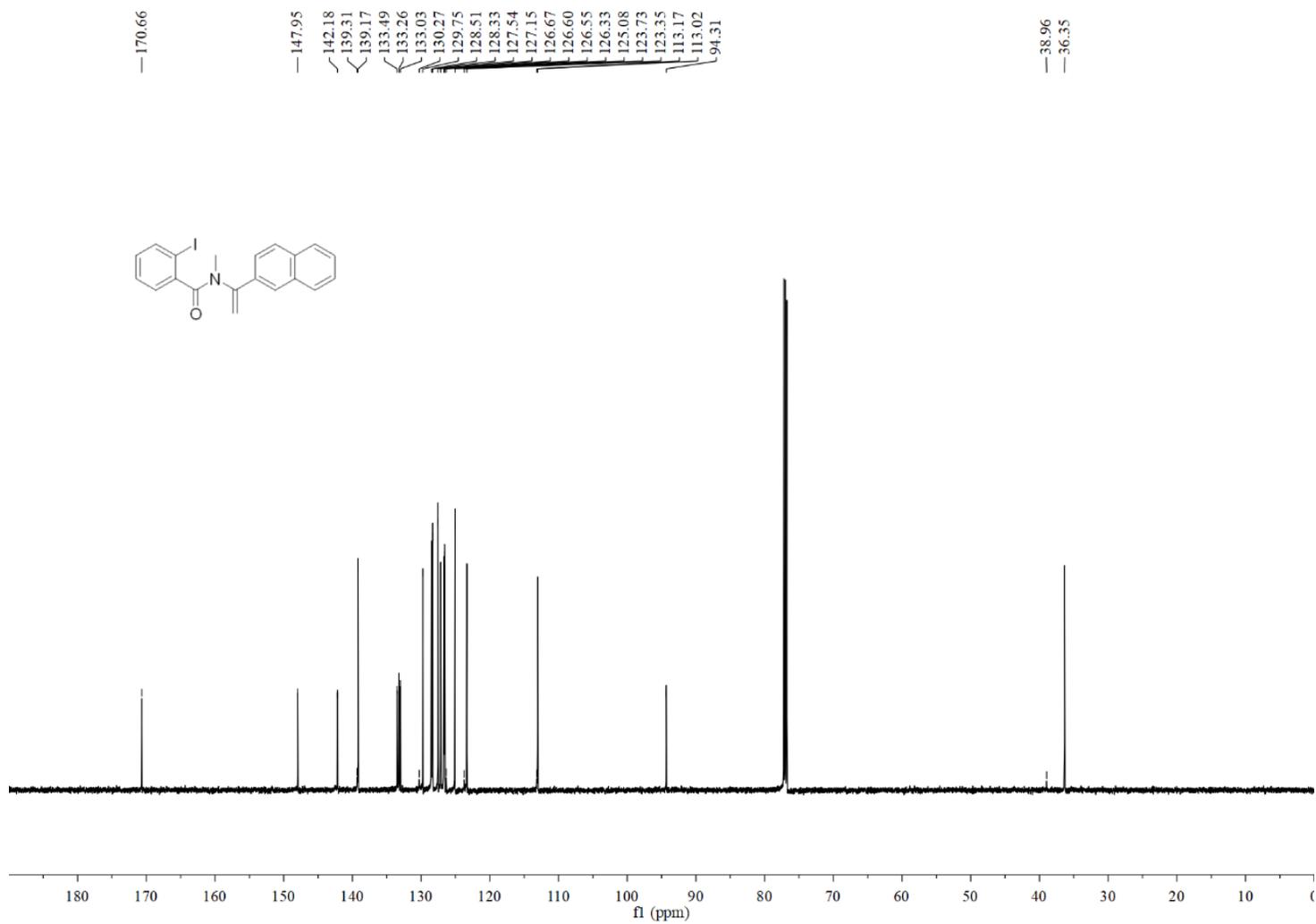


Figure S52. ^{13}C NMR spectrum of compound **1v** (150 MHz, CDCl_3)

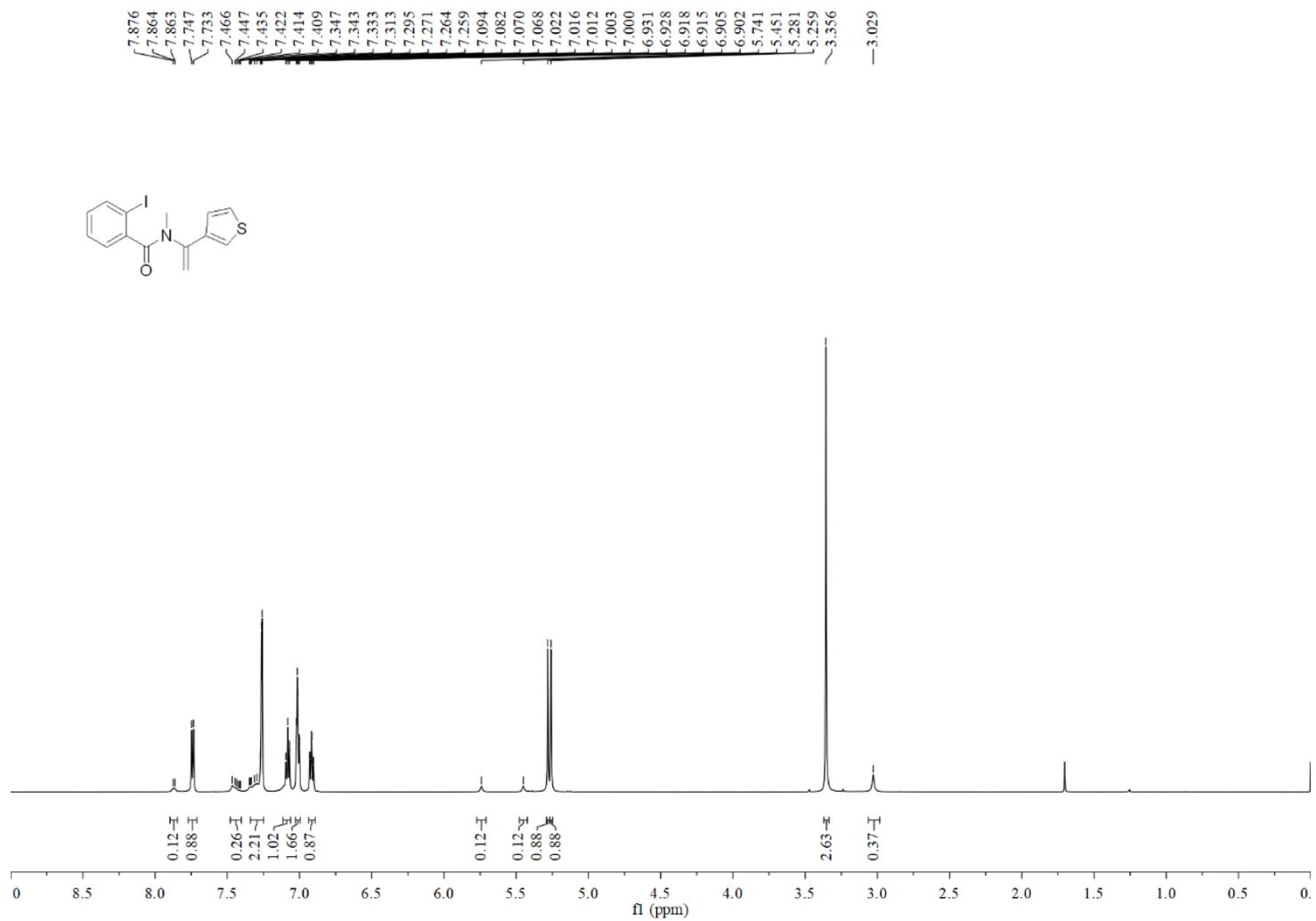


Figure S53. ¹H NMR spectrum of compound **1w** (600 MHz, CDCl₃)

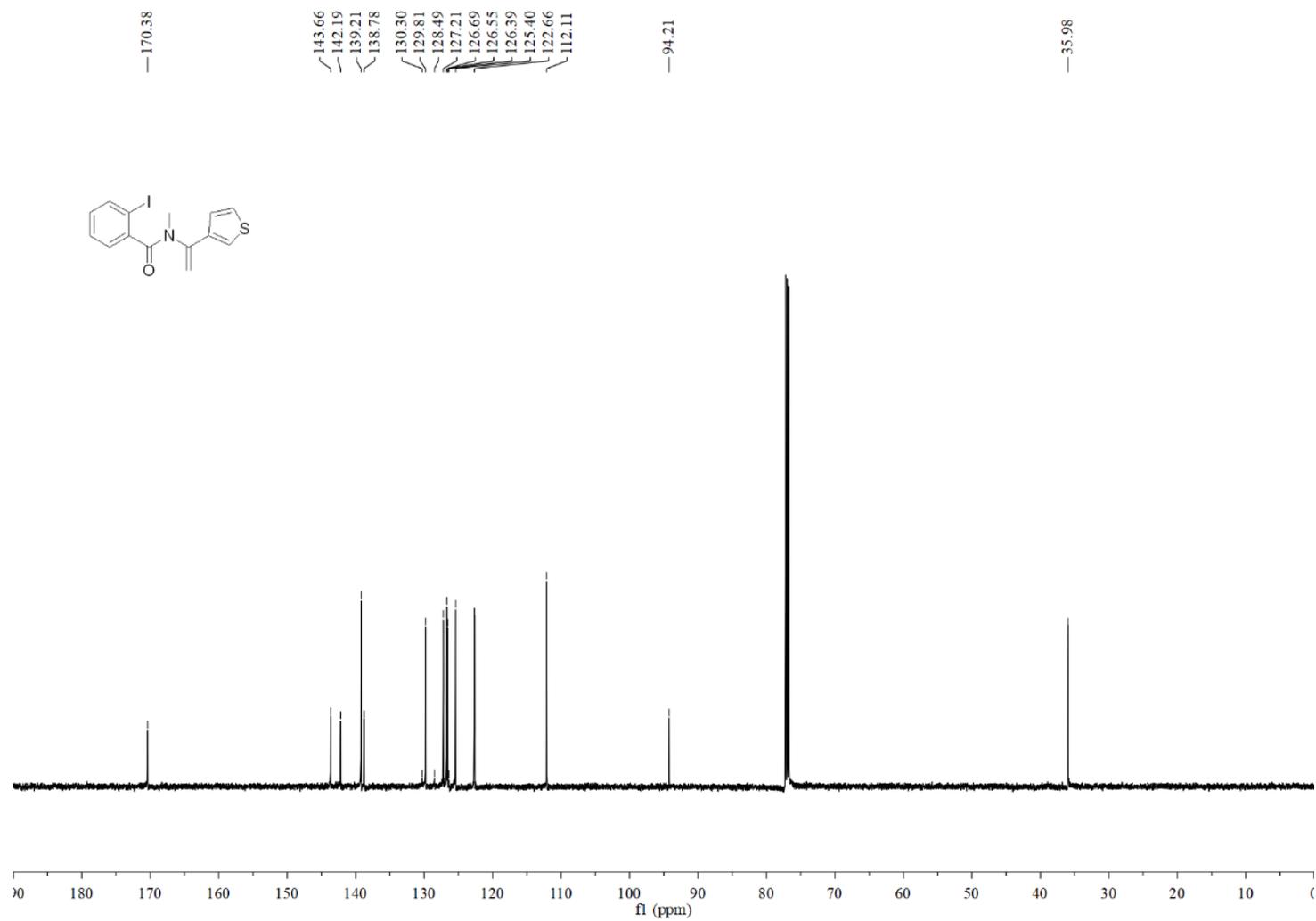


Figure S54. ¹³C NMR spectrum of compound **1w** (150 MHz, CDCl₃)

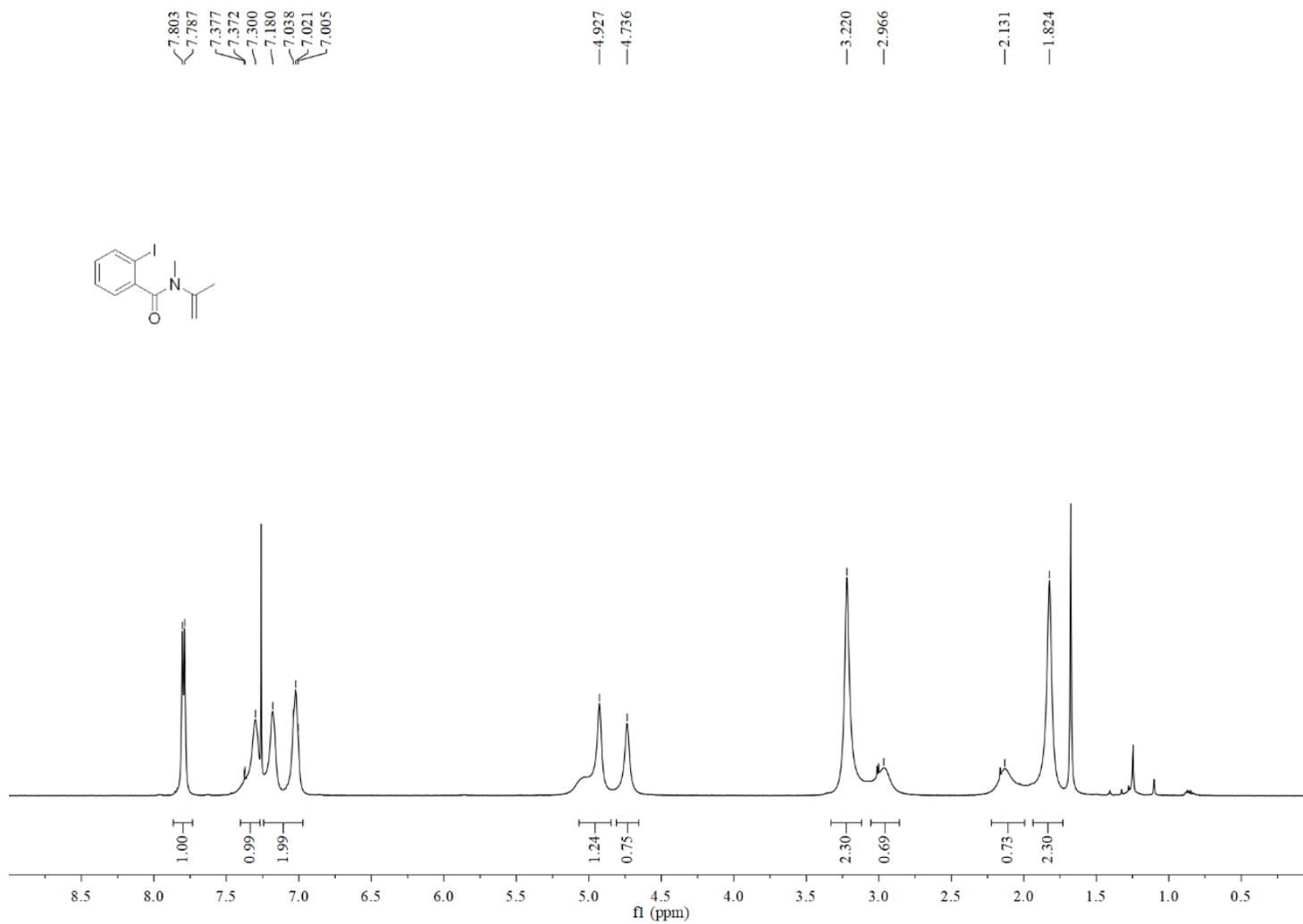


Figure S55. ¹H NMR spectrum of compound **1x** (500 MHz, CDCl₃)

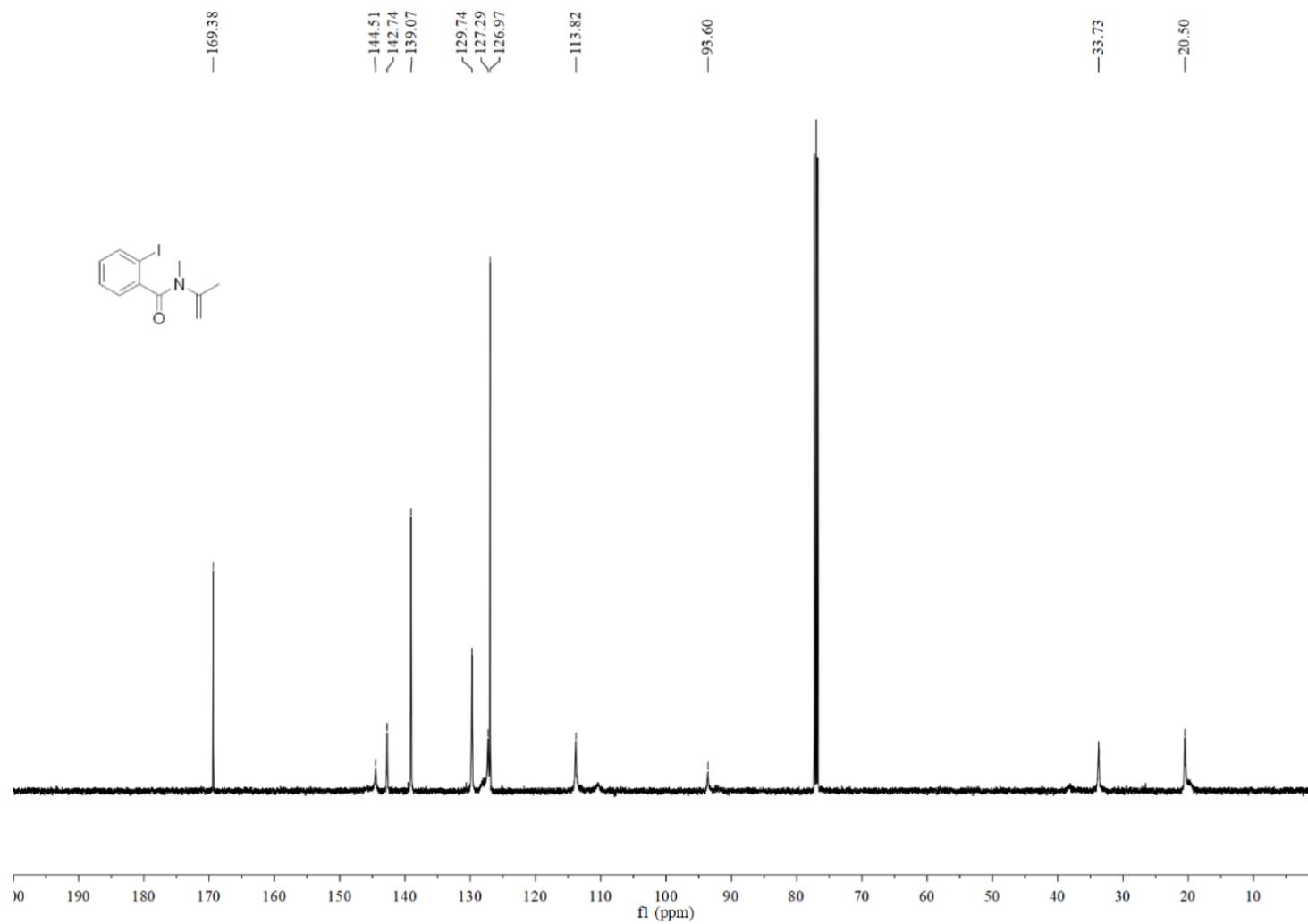


Figure S56. ^{13}C NMR spectrum of compound **1x** (125 MHz, CDCl_3)

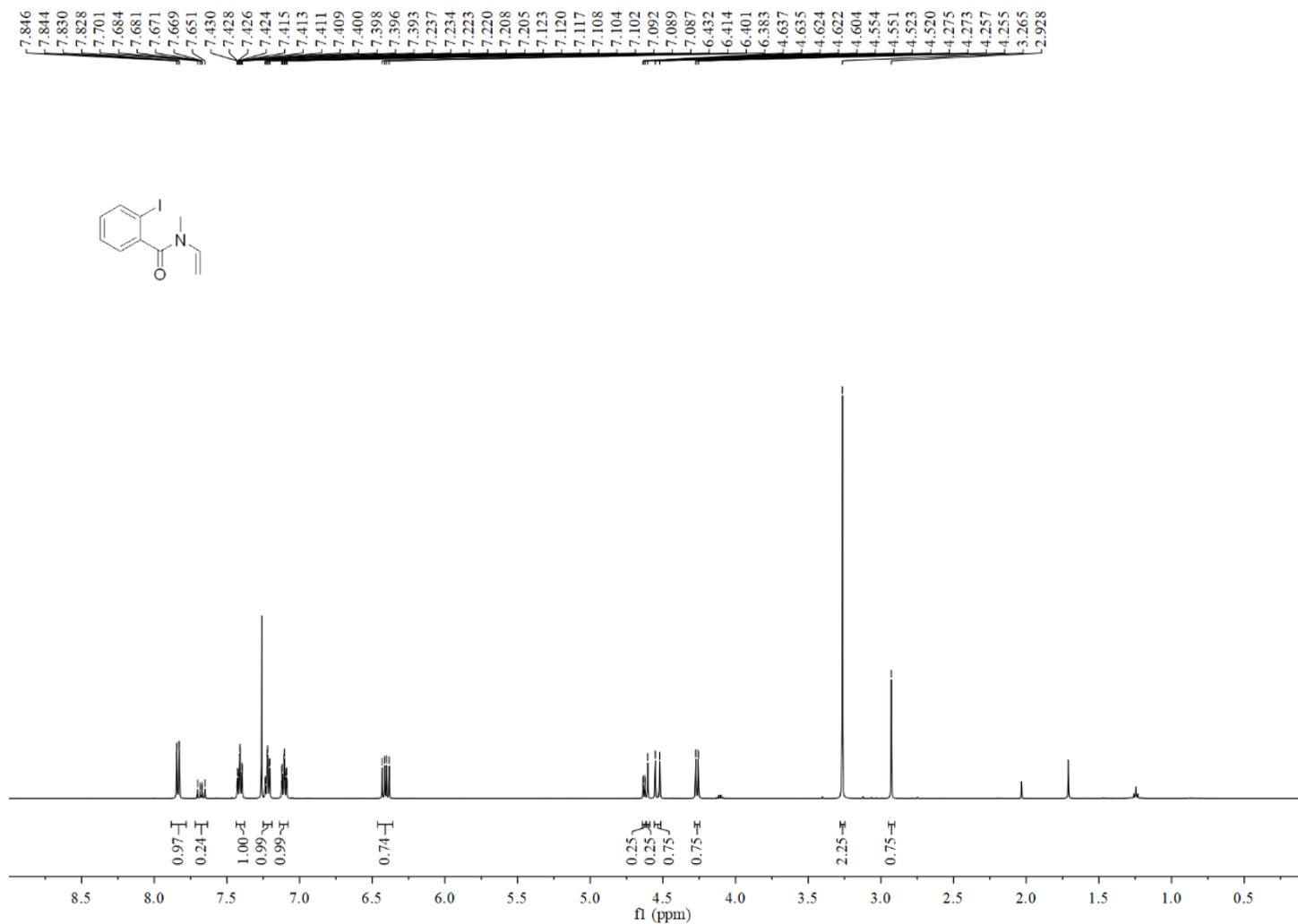


Figure S57. ¹H NMR spectrum of compound **1y** (500 MHz, CDCl₃)

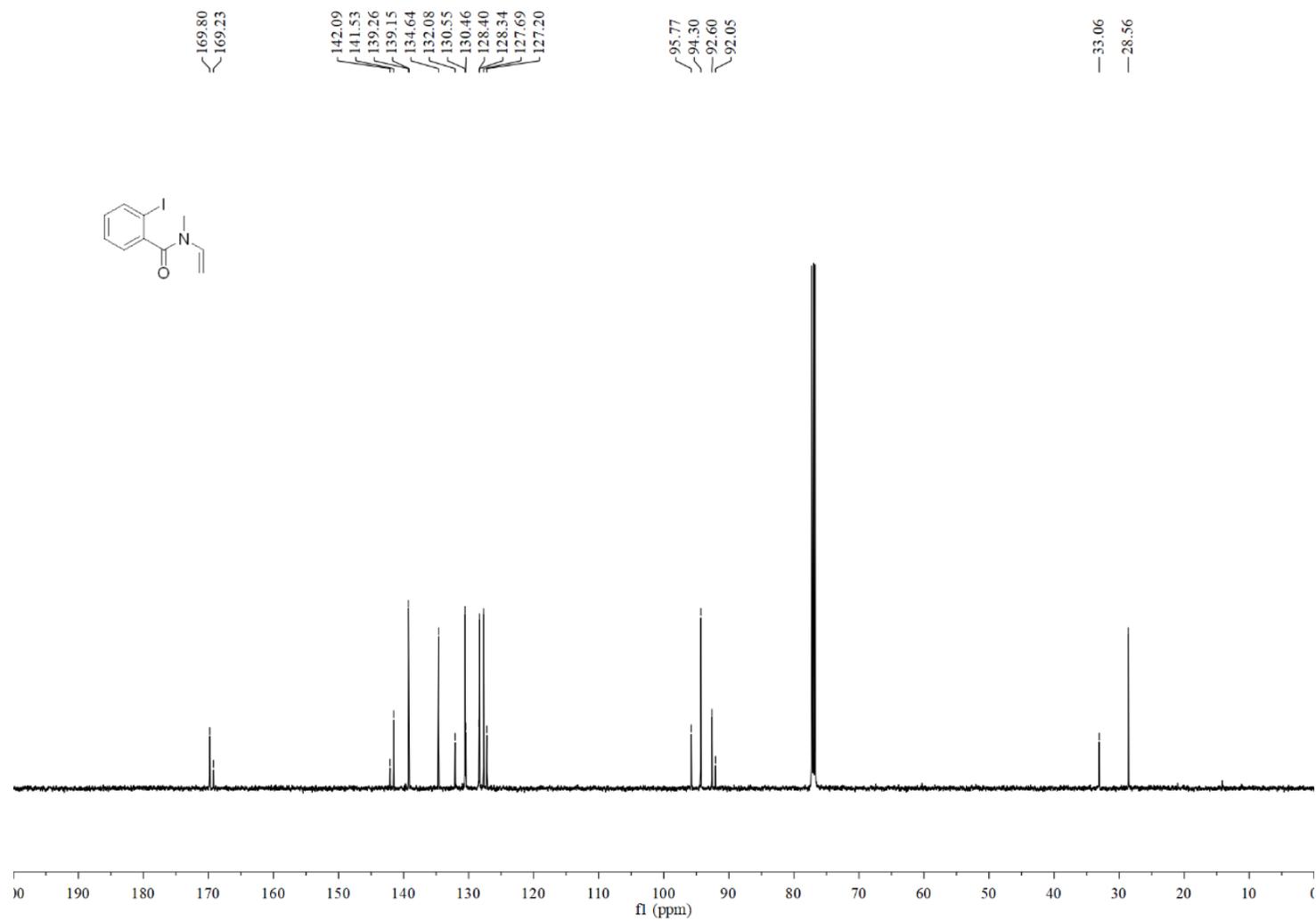


Figure S58. ^{13}C NMR spectrum of compound **1y** (125 MHz, CDCl_3)

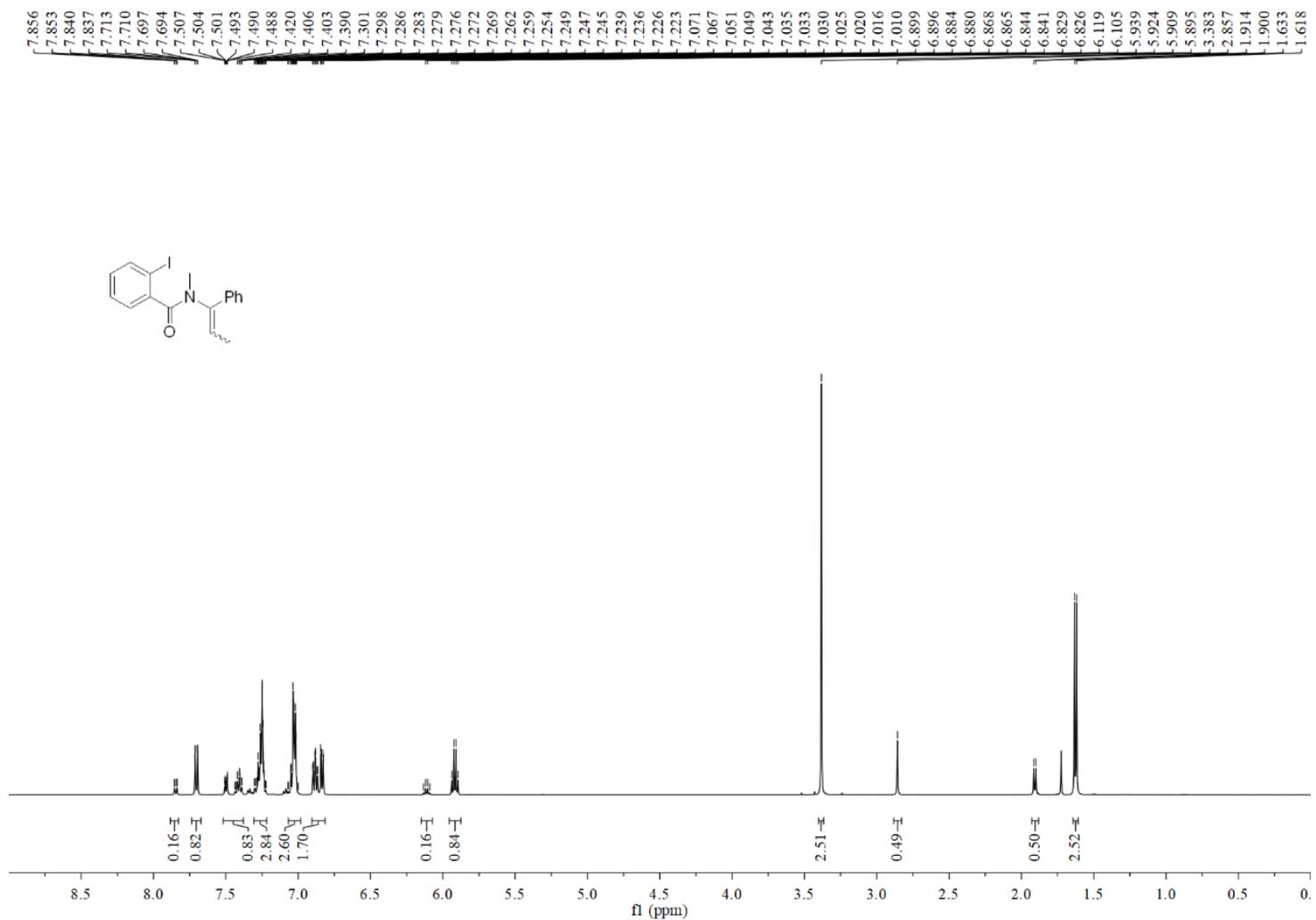


Figure S59. ¹H NMR spectrum of compound **1z** (500 MHz, CDCl₃)

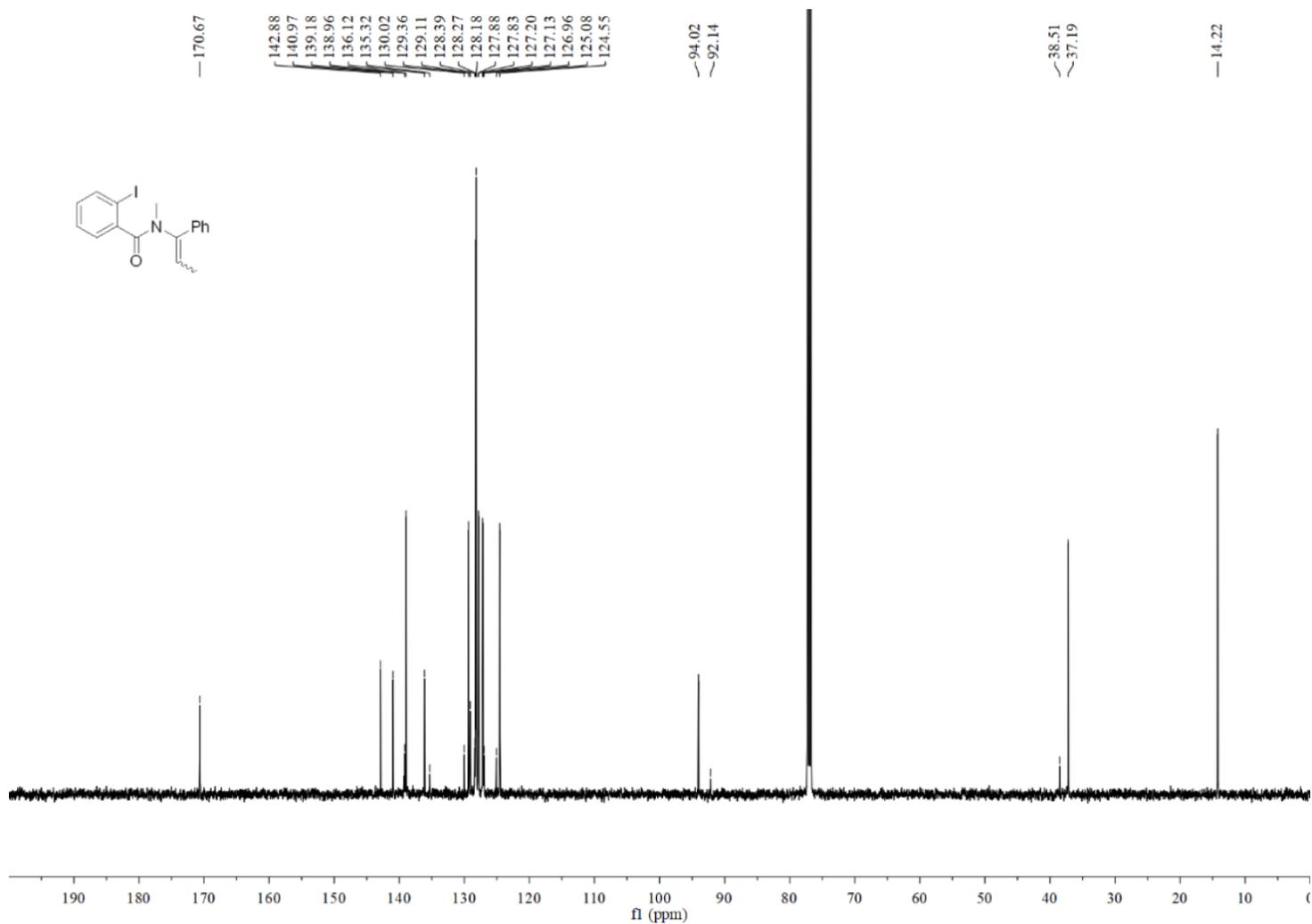


Figure S60. ¹³C NMR spectrum of compound **1z** (125 MHz, CDCl₃)

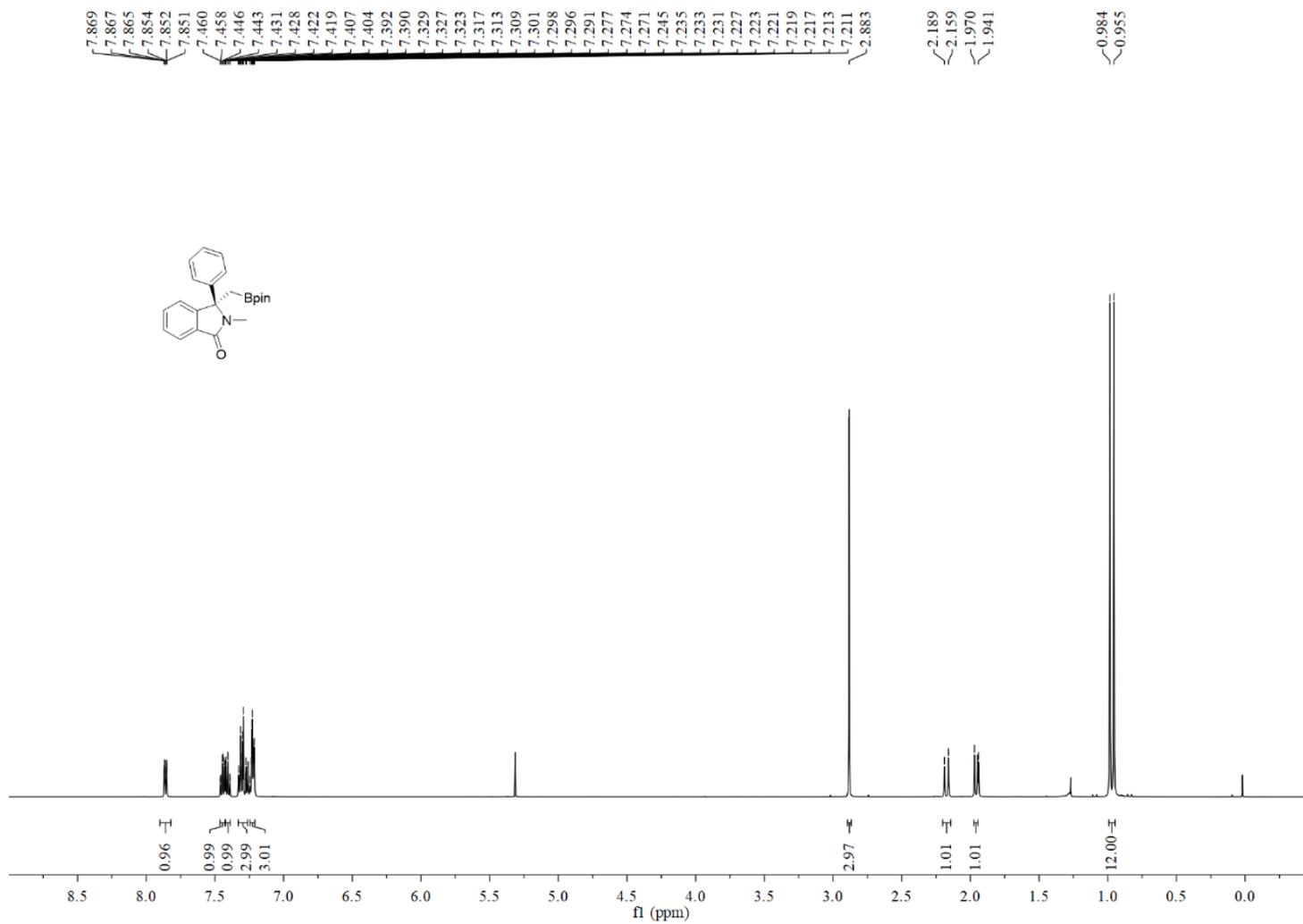


Figure S61. ^1H NMR spectrum of compound **2a** (600 MHz, CDCl_3)

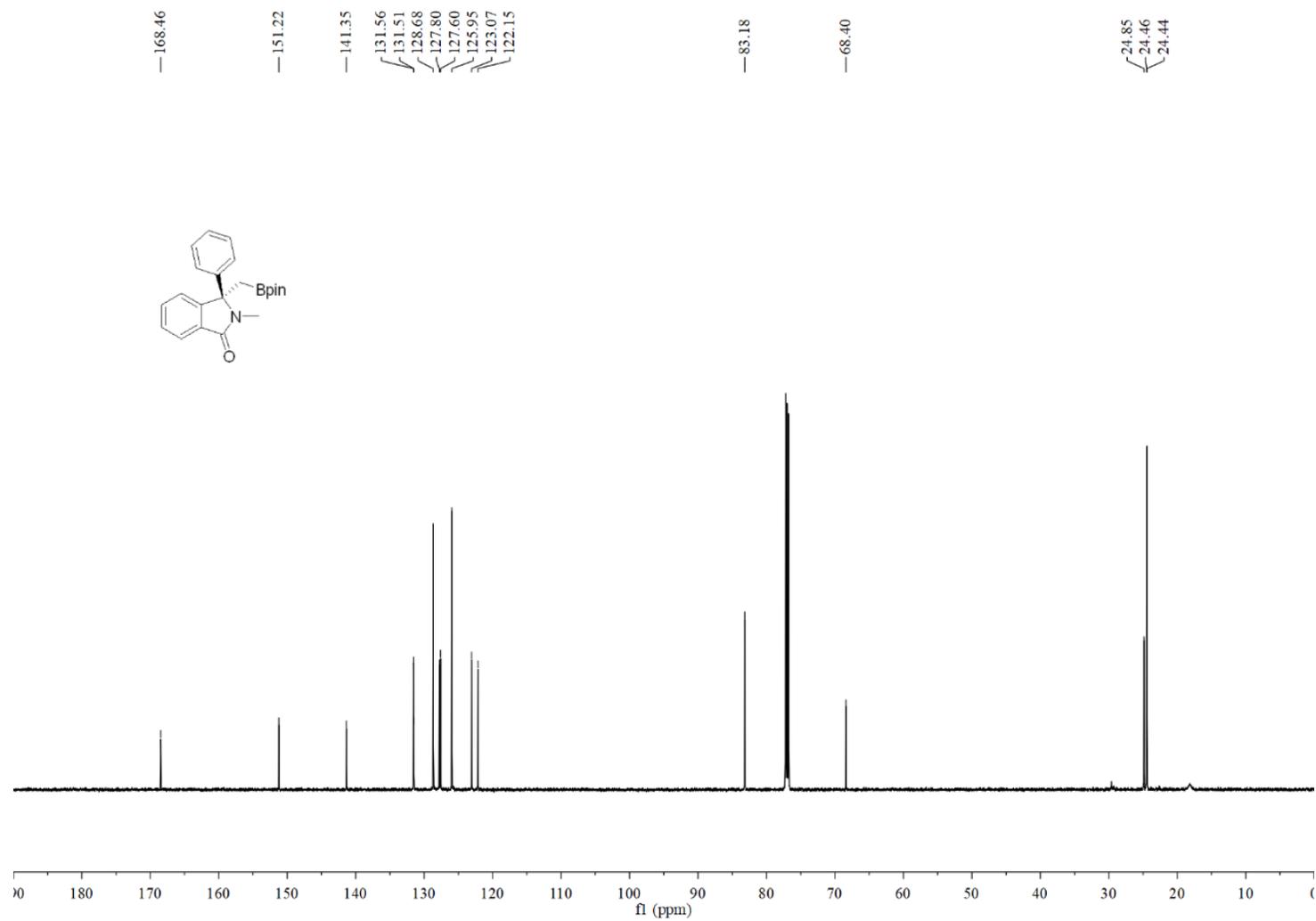


Figure S62. ¹³C NMR spectrum of compound **2a** (150 MHz, CDCl₃)

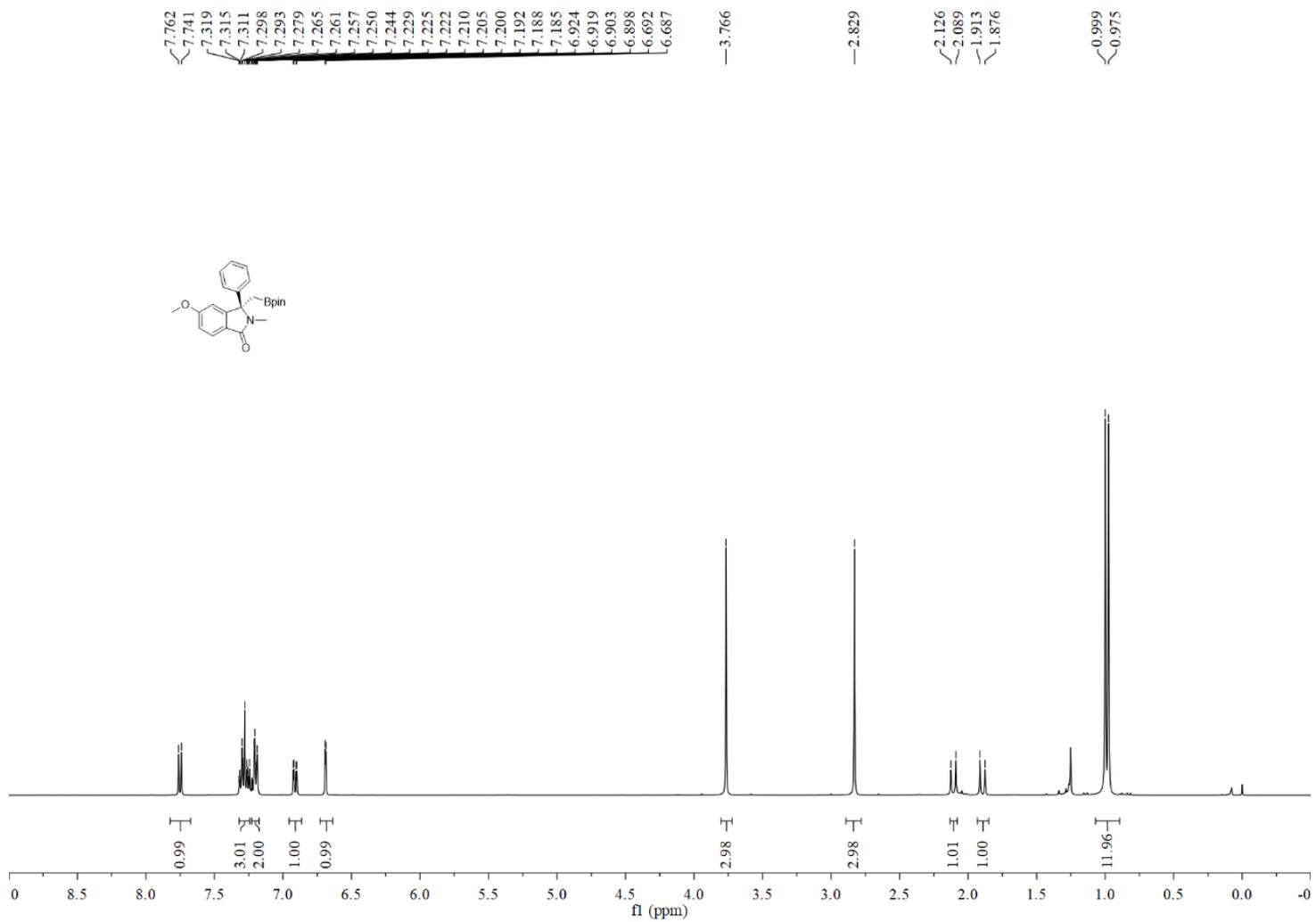


Figure S63. ¹H NMR spectrum of compound **2b** (400 MHz, CDCl₃)

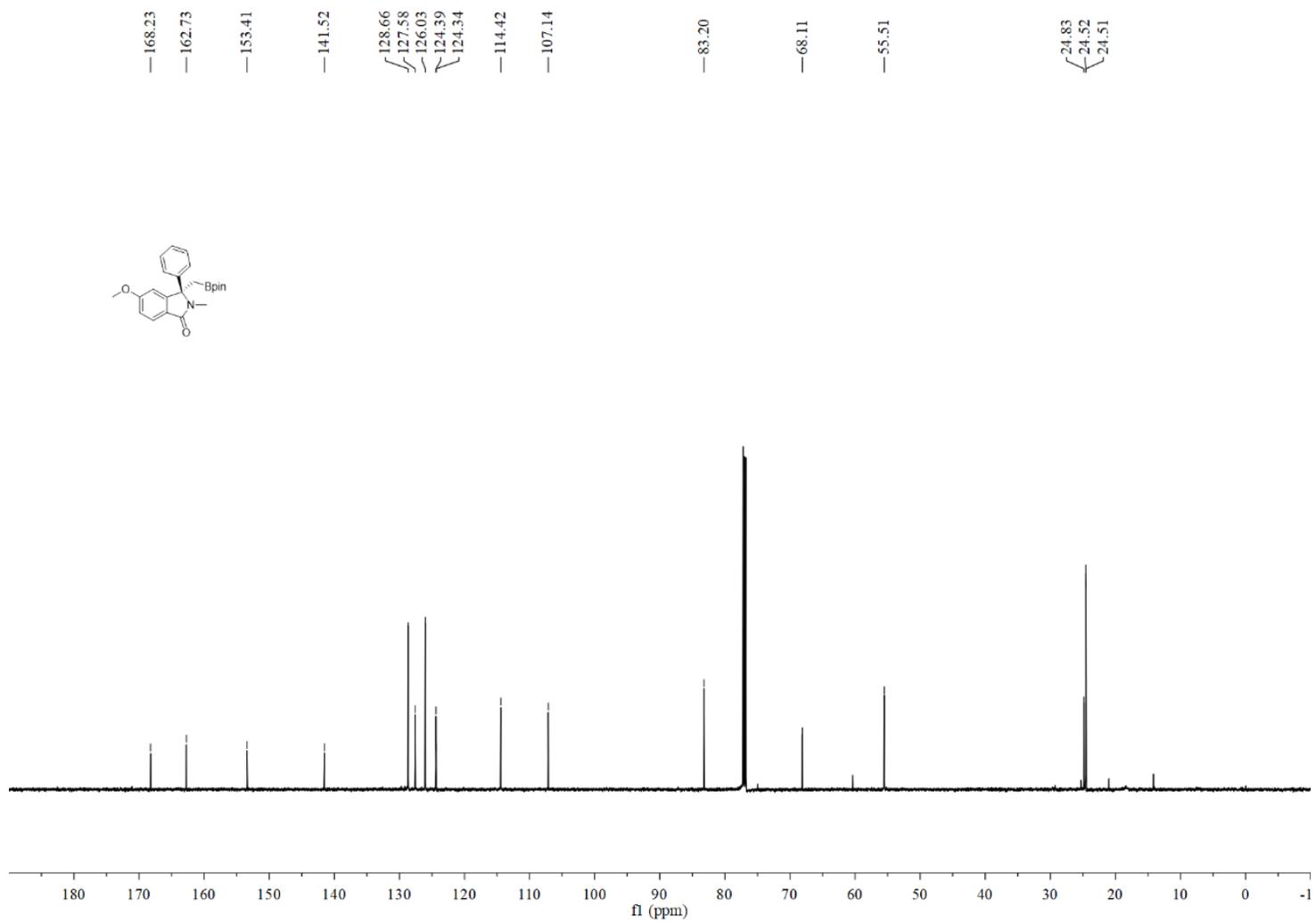


Figure S64. ^{13}C NMR spectrum of compound **2b** (150 MHz, CDCl_3)

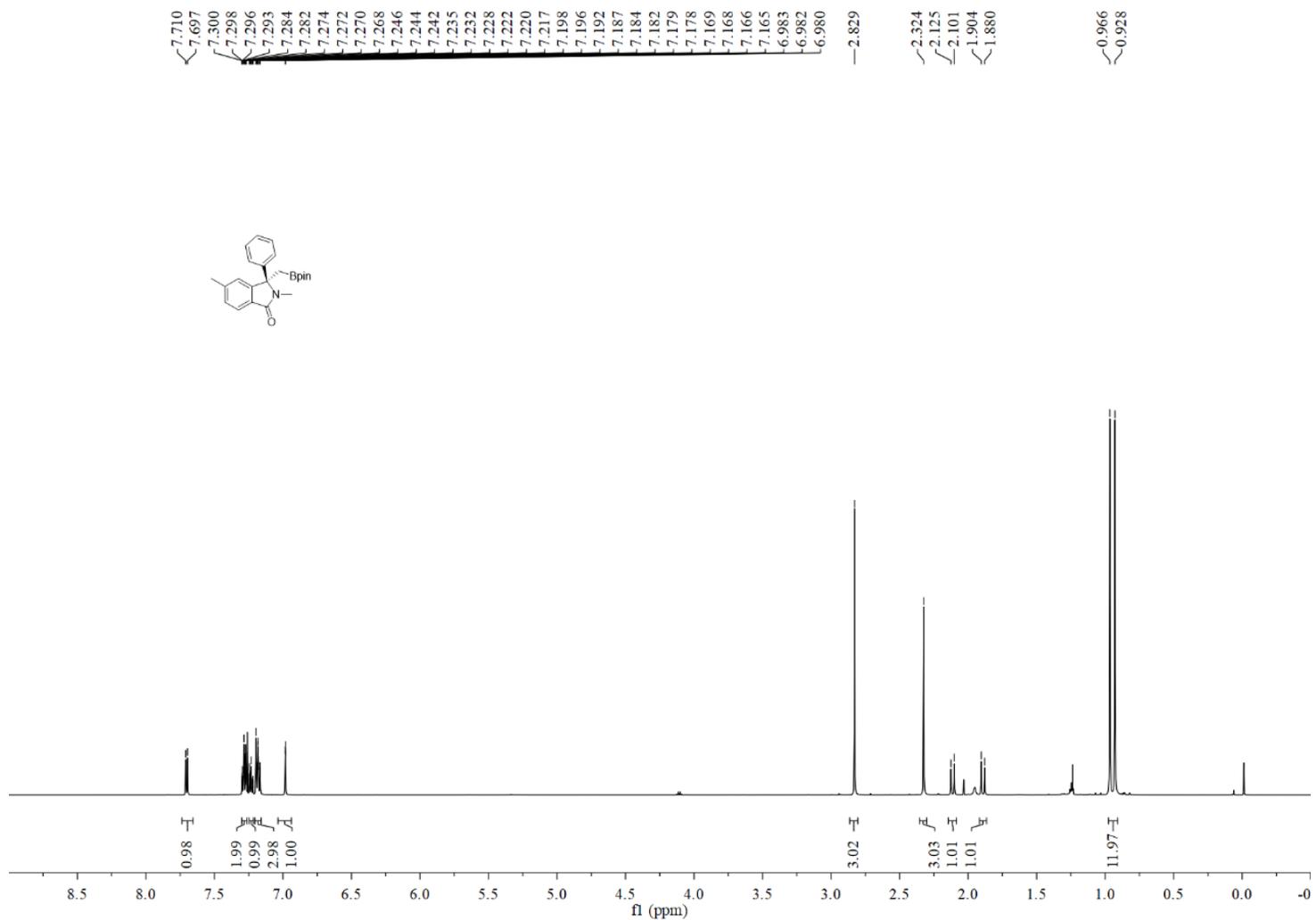


Figure S65. ^1H NMR spectrum of compound **2c** (600 MHz, CDCl_3)

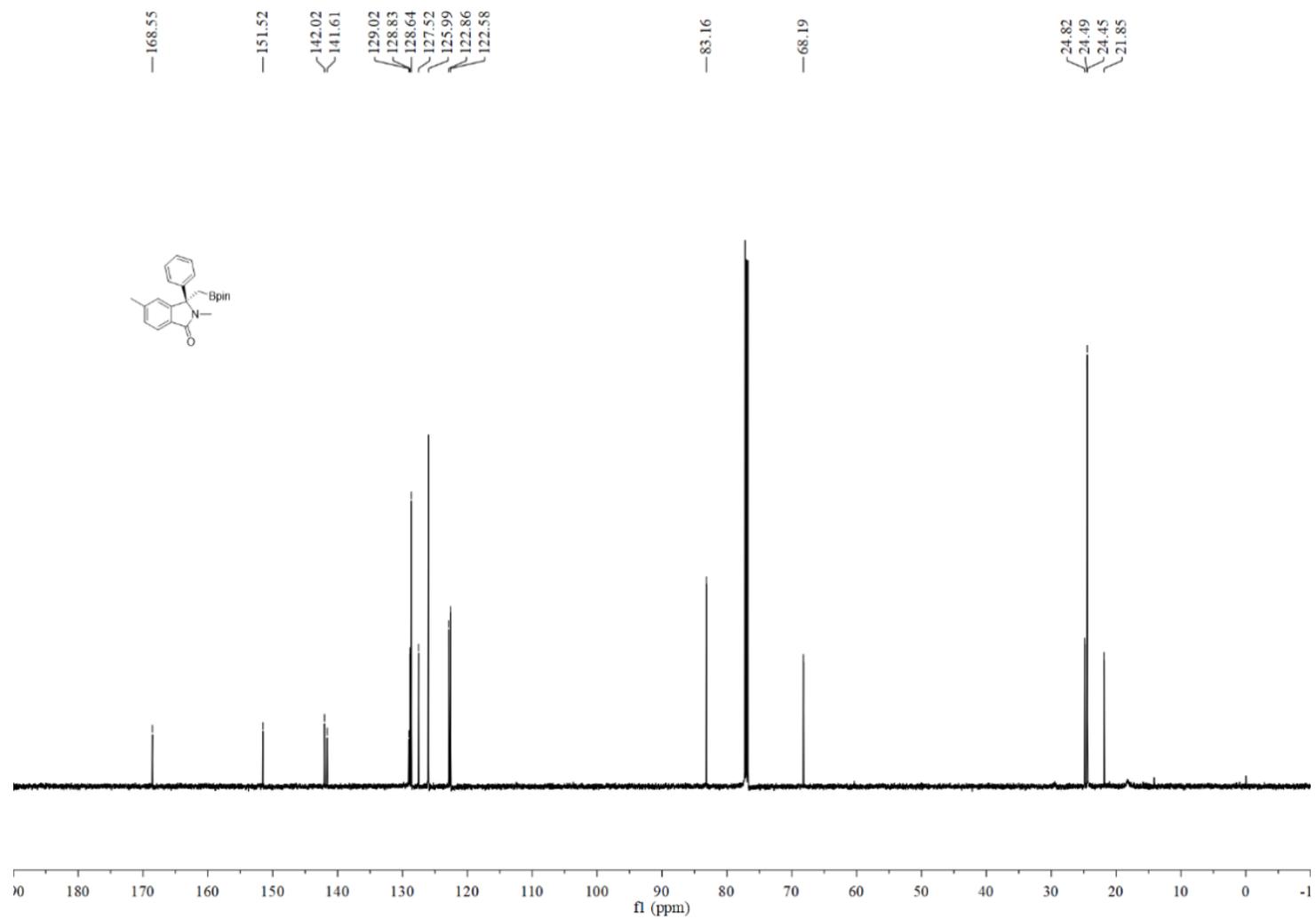


Figure S66. ¹³C NMR spectrum of compound 2c (150 MHz, CDCl₃)

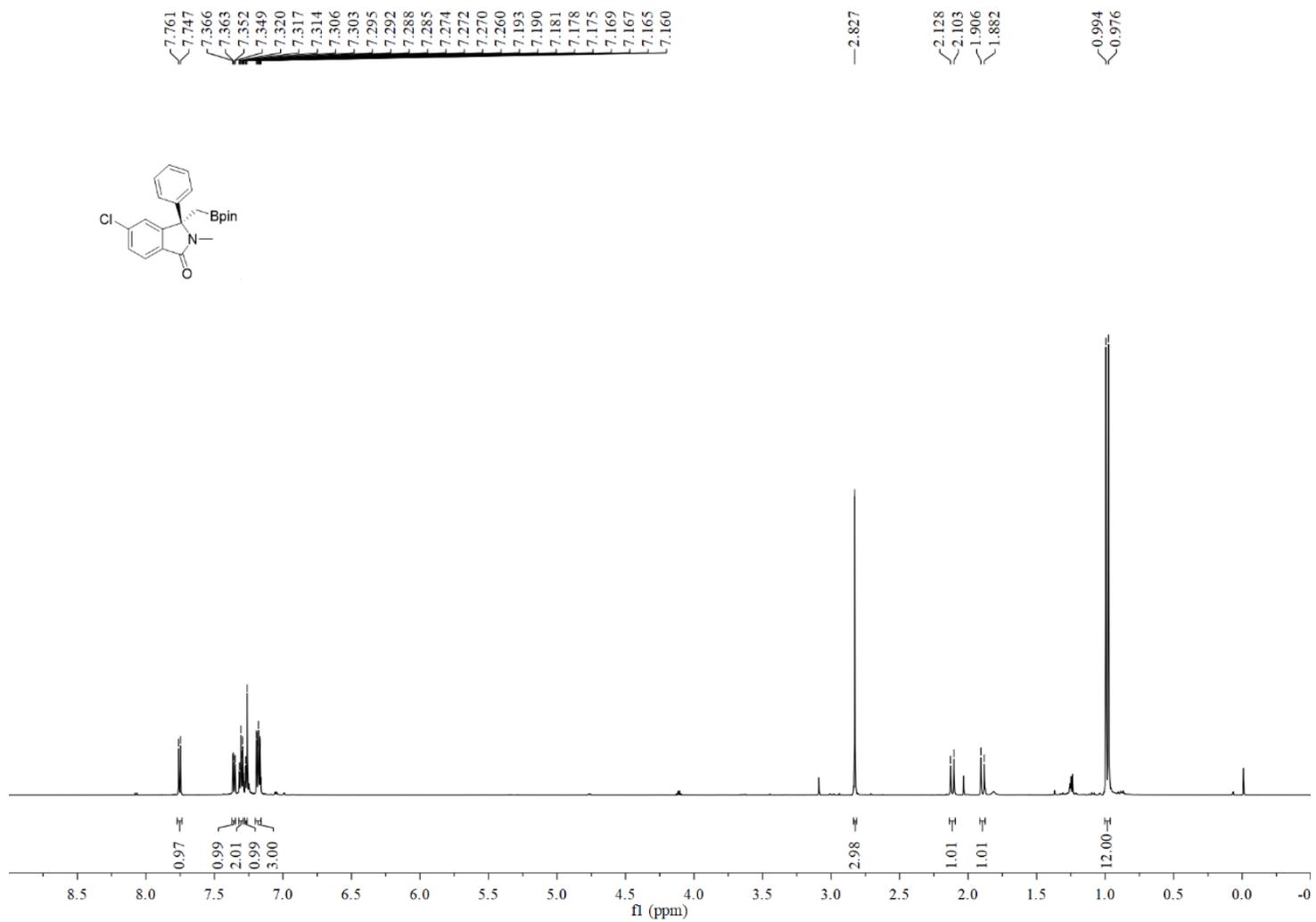


Figure S67. ^1H NMR spectrum of compound **2d** (600 MHz, CDCl_3)

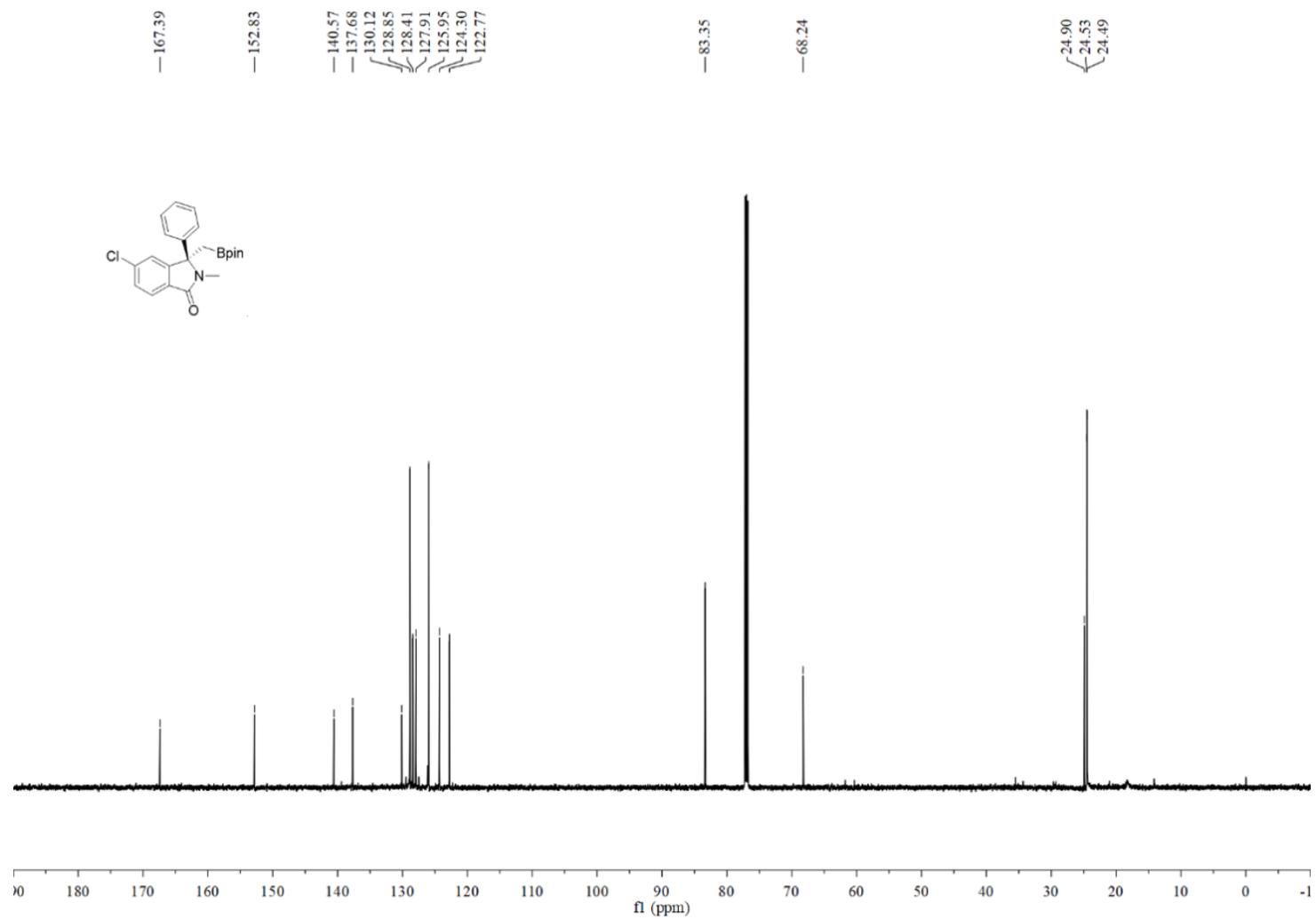


Figure S68. ^{13}C NMR spectrum of compound **2d** (100 MHz, CDCl_3)

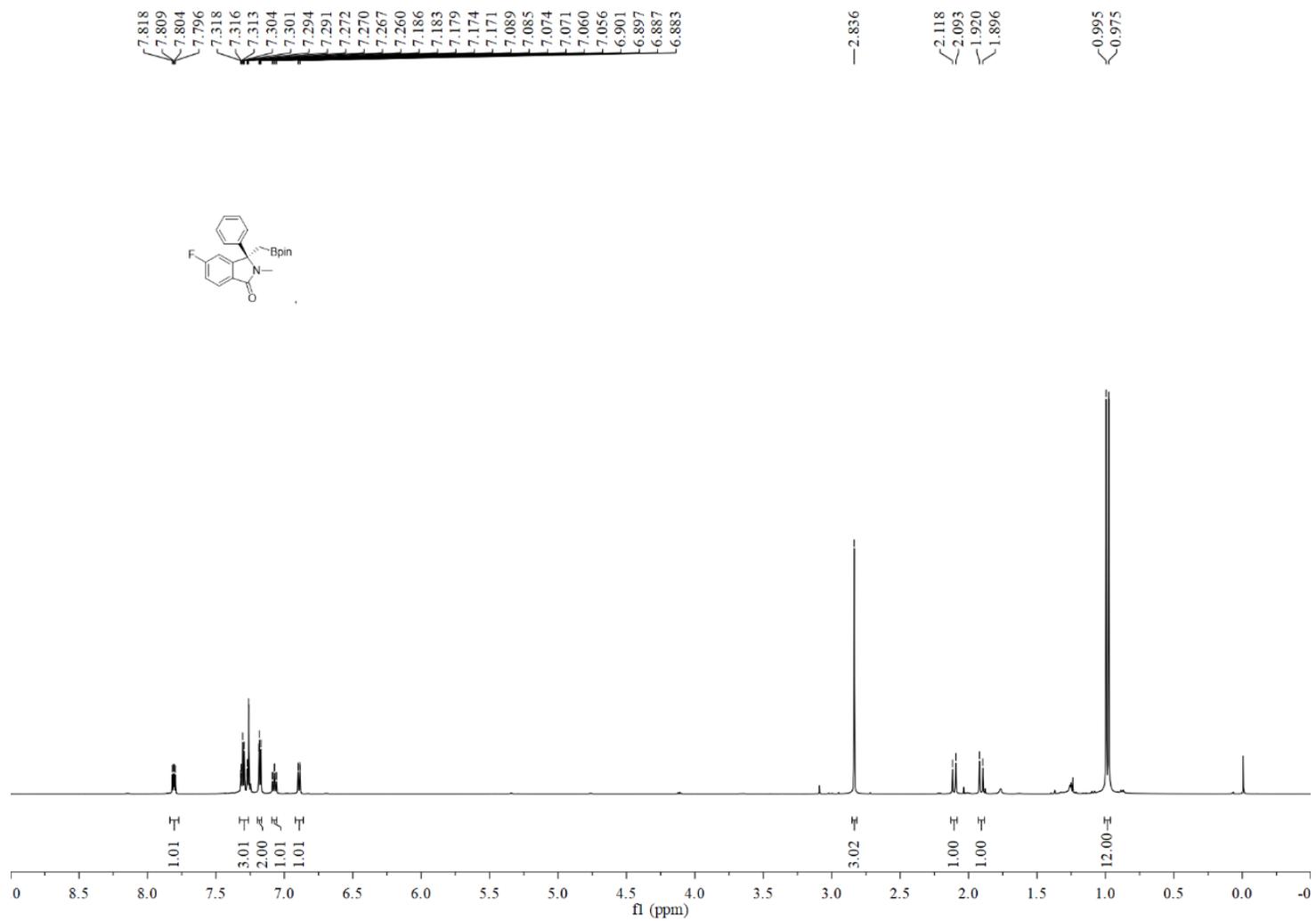


Figure S69. ¹H NMR spectrum of compound **2e** (600 MHz, CDCl₃)

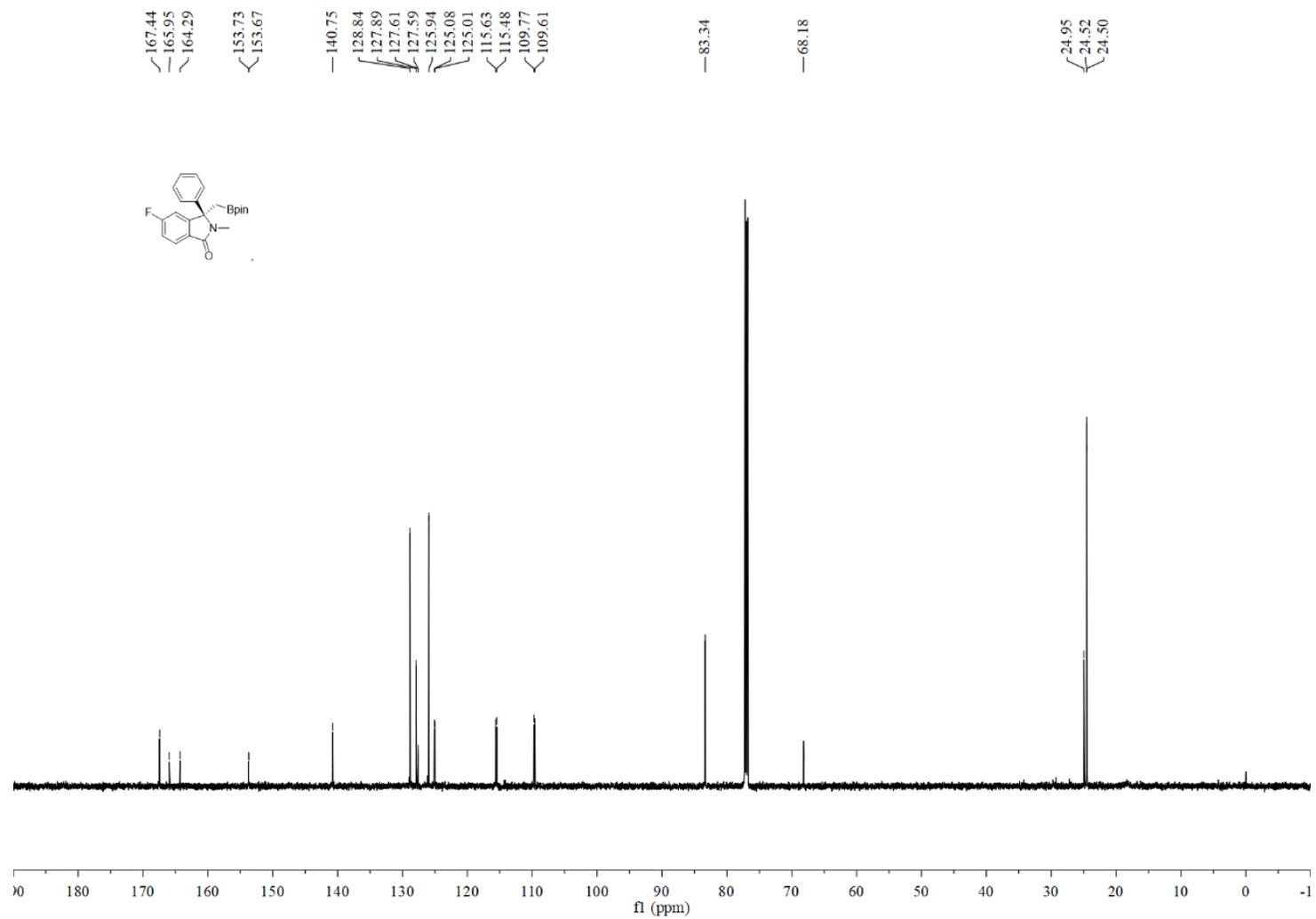


Figure S70. ¹³C NMR spectrum of compound 2e (150 MHz, CDCl₃)

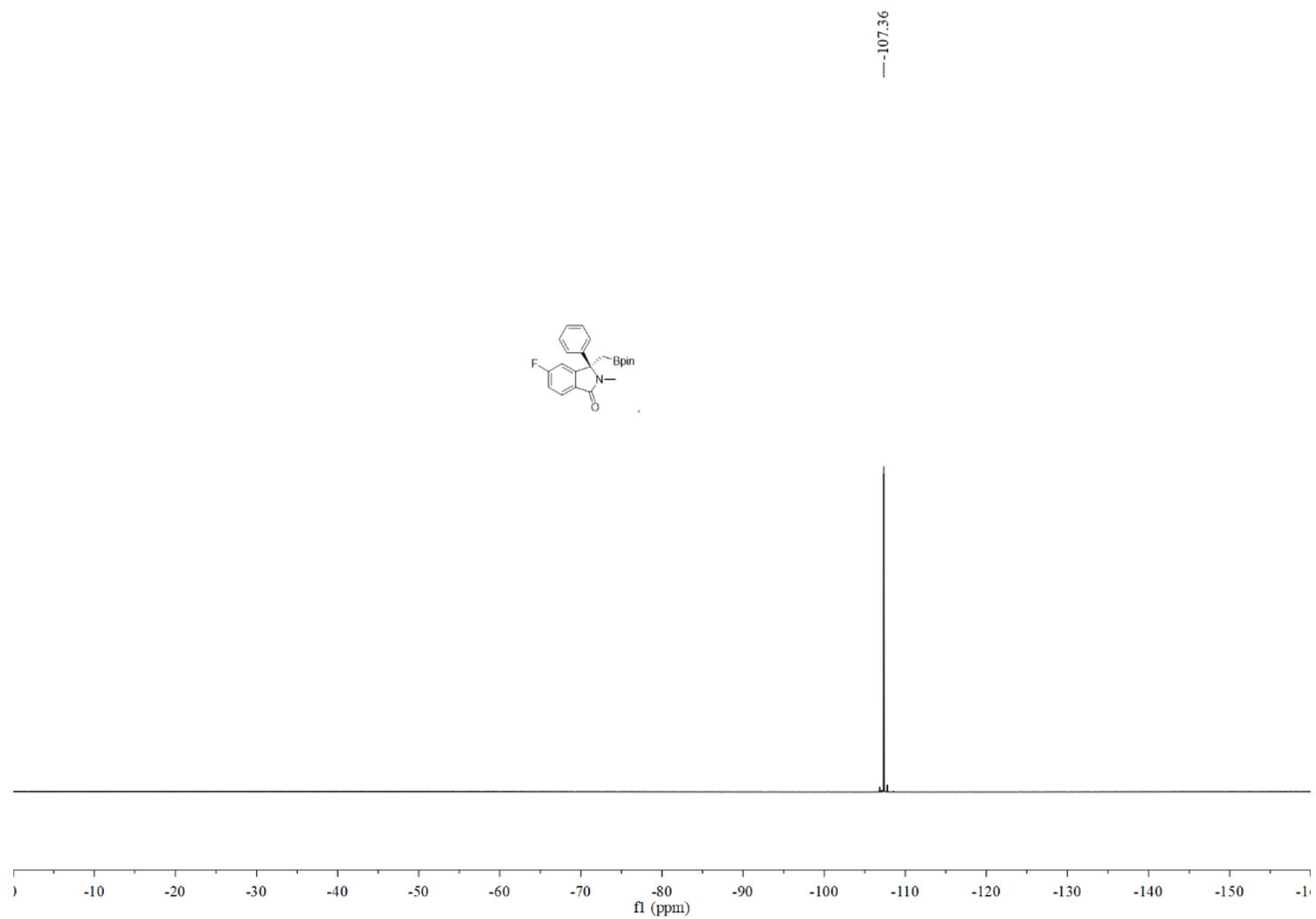


Figure S71. ^{19}F NMR spectrum of compound **2e** (377 MHz, CDCl_3)

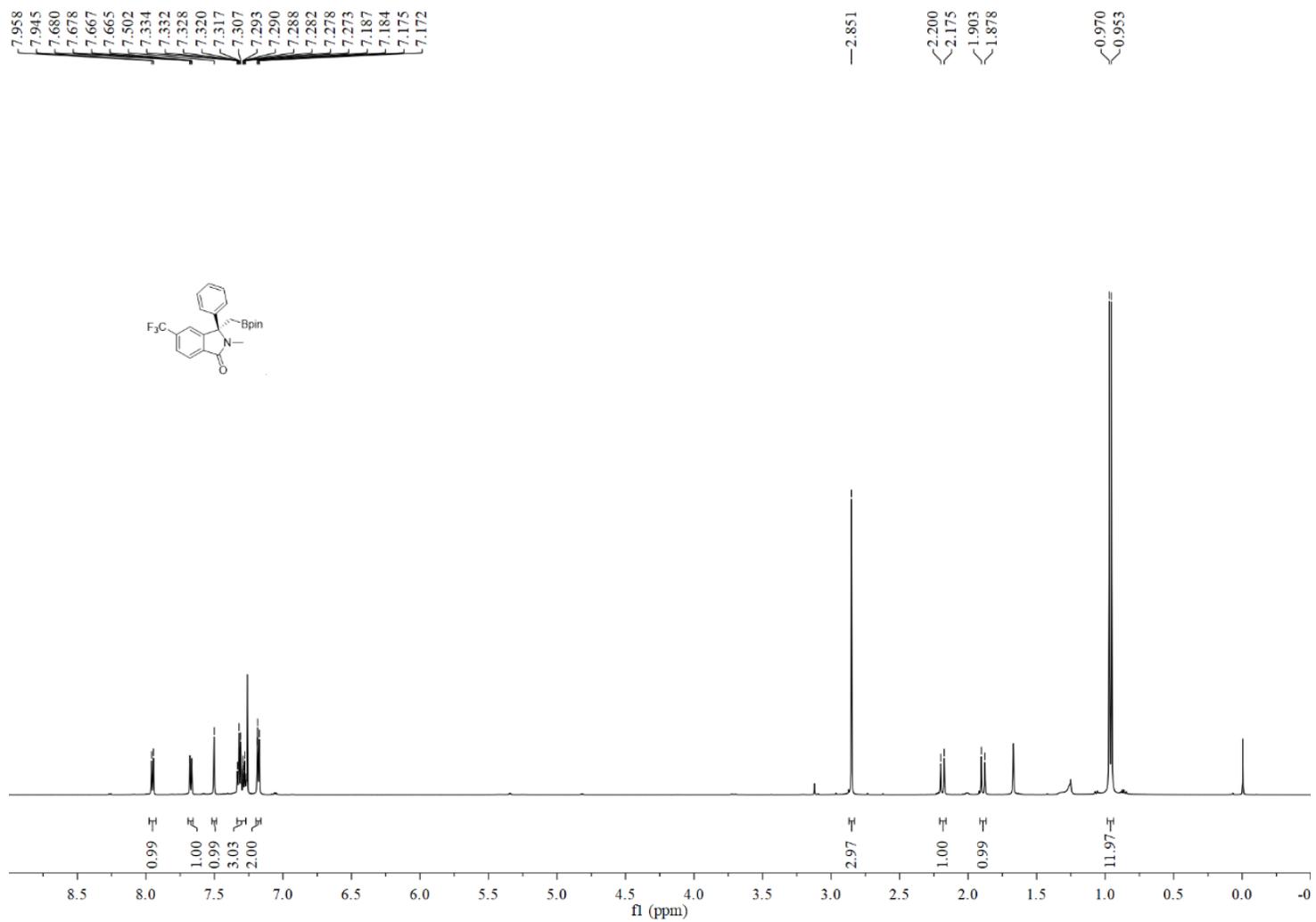


Figure S72. ^1H NMR spectrum of compound **2f** (600 MHz, CDCl_3)

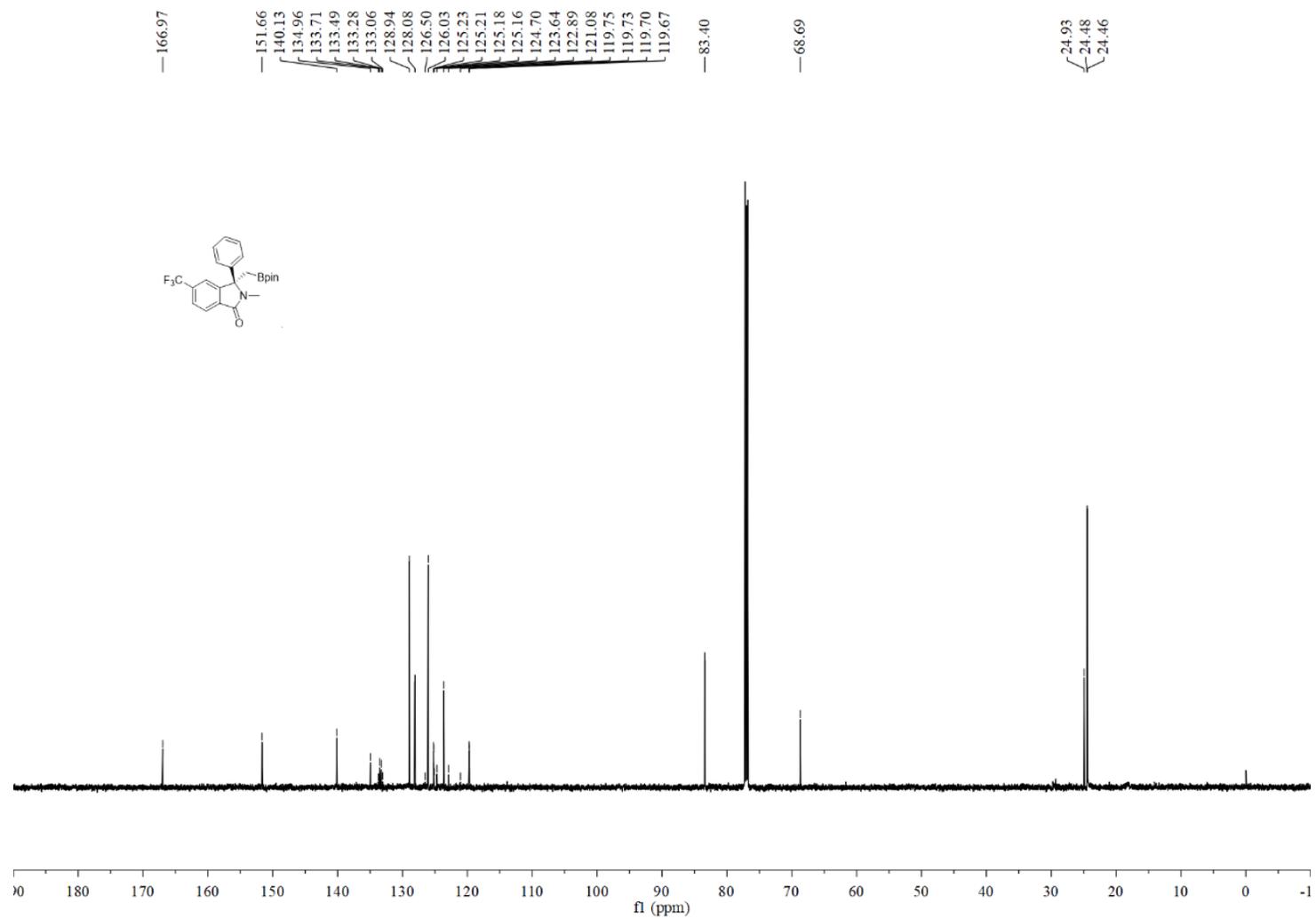


Figure S73. ¹³C NMR spectrum of compound **2f** (150 MHz, CDCl₃)

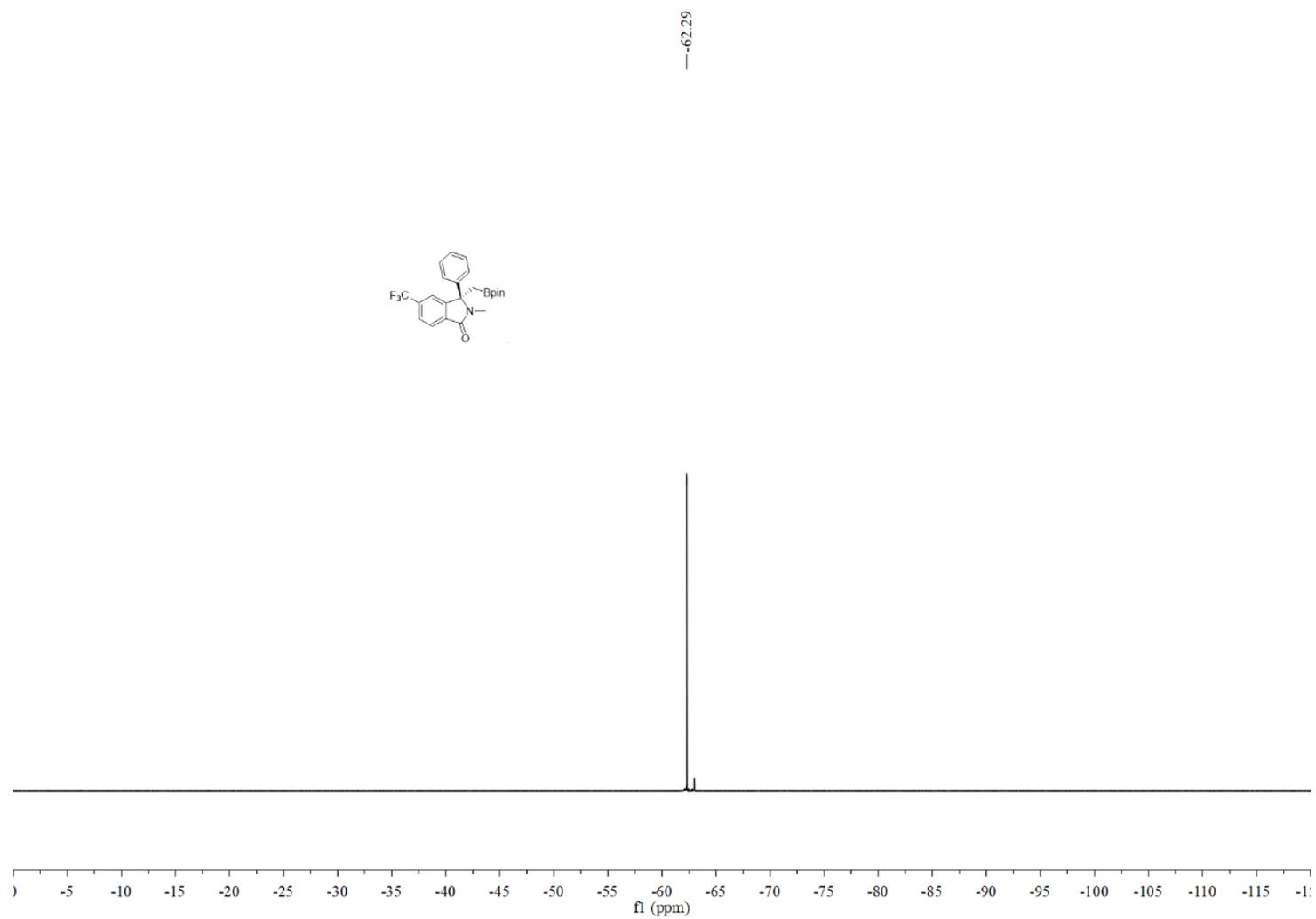


Figure S74. ^{19}F NMR spectrum of compound **2f** (377 MHz, CDCl_3)

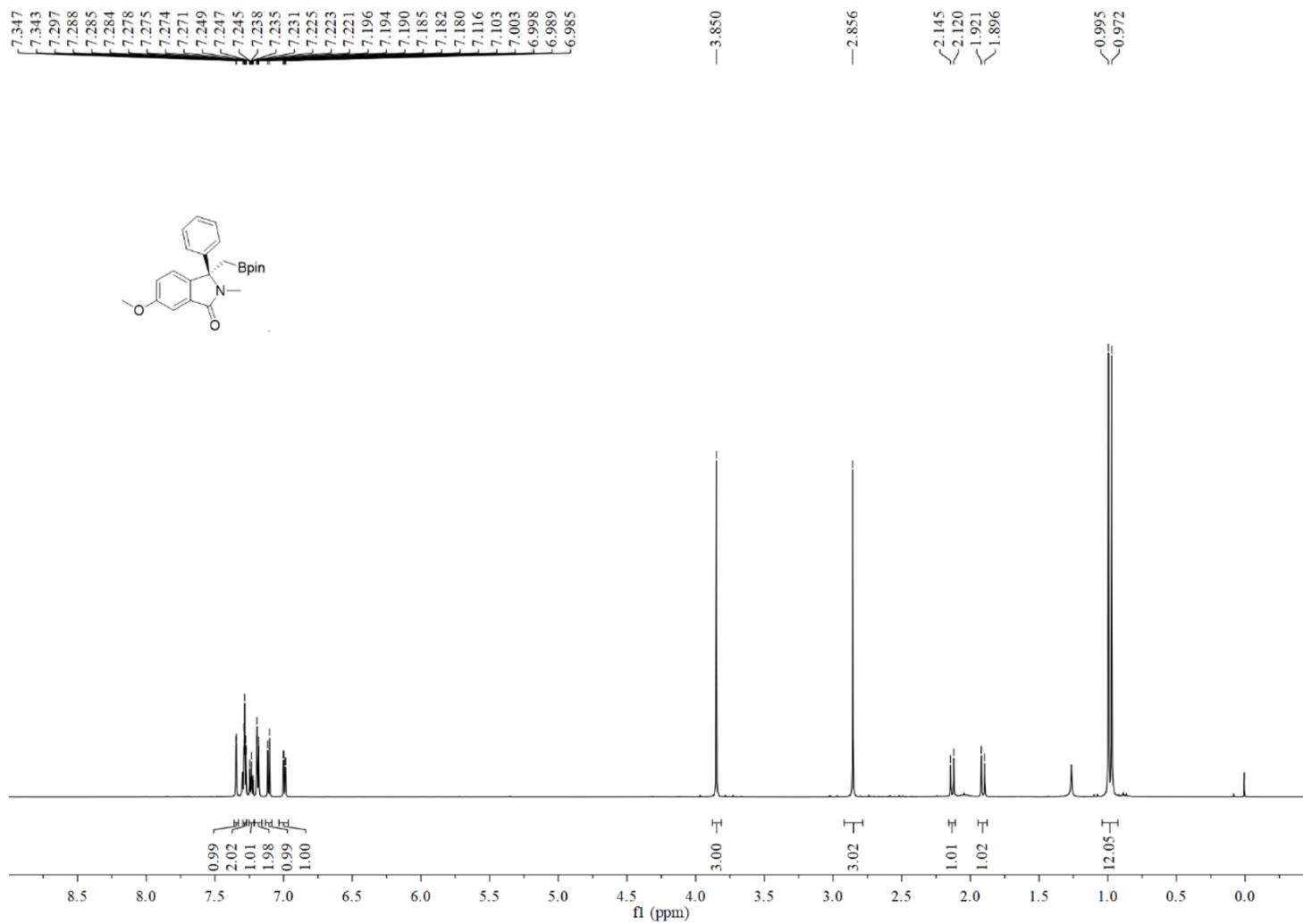


Figure S75. ¹H NMR spectrum of compound **2g** (600 MHz, CDCl₃)

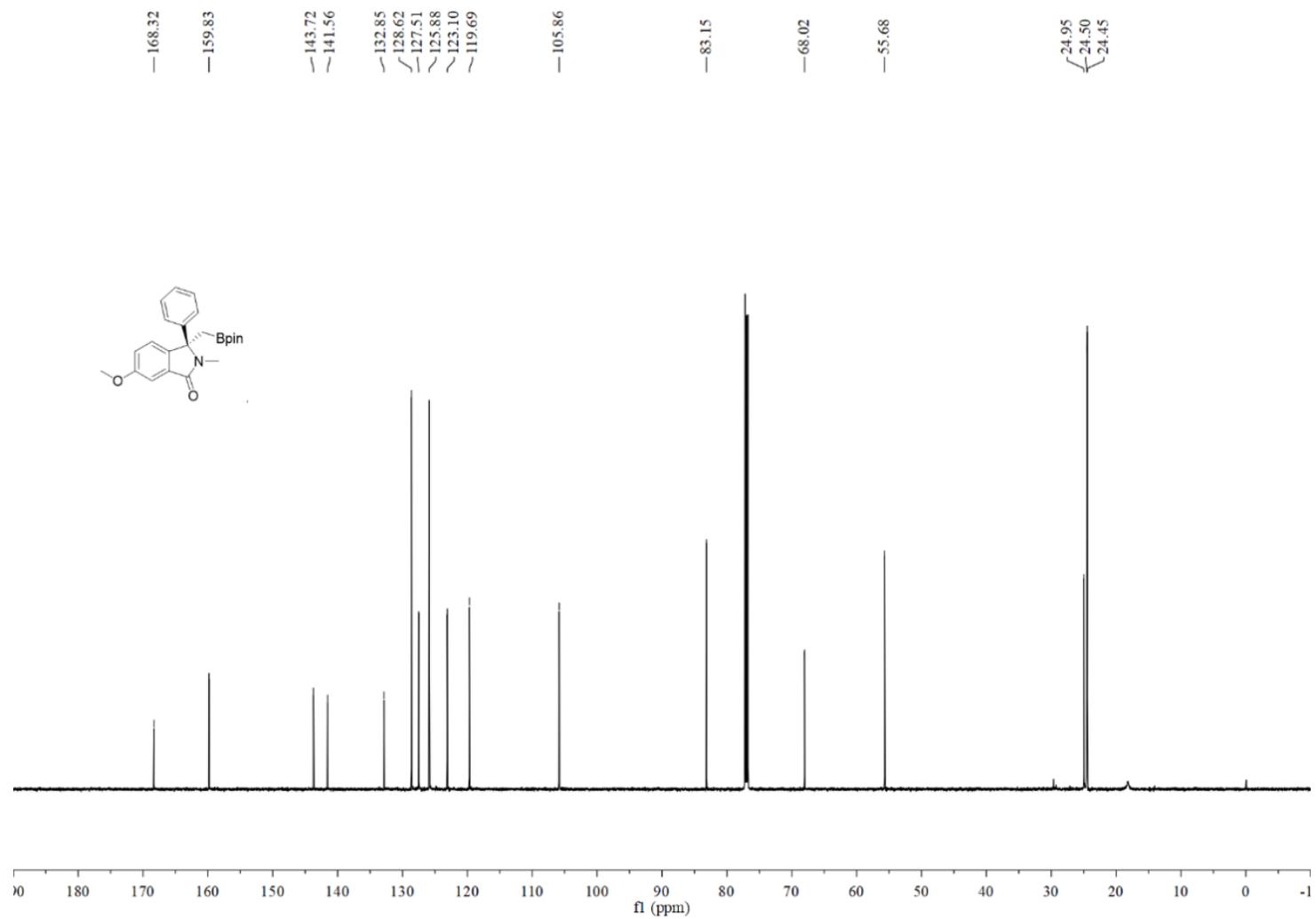


Figure S76. ^{13}C NMR spectrum of compound **2g** (150 MHz, CDCl_3)

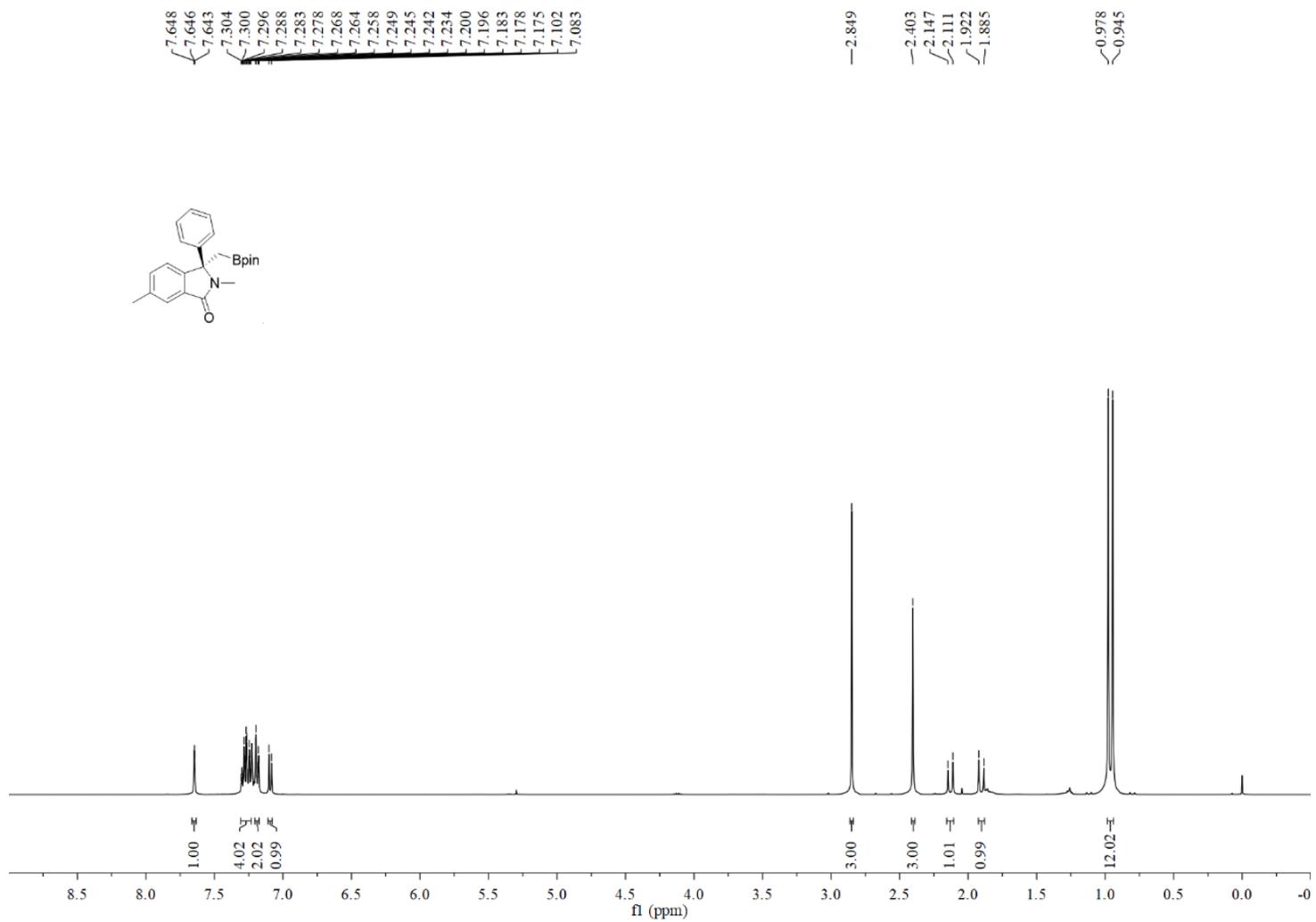


Figure S77. ^1H NMR spectrum of compound **2h** (400 MHz, CDCl_3)

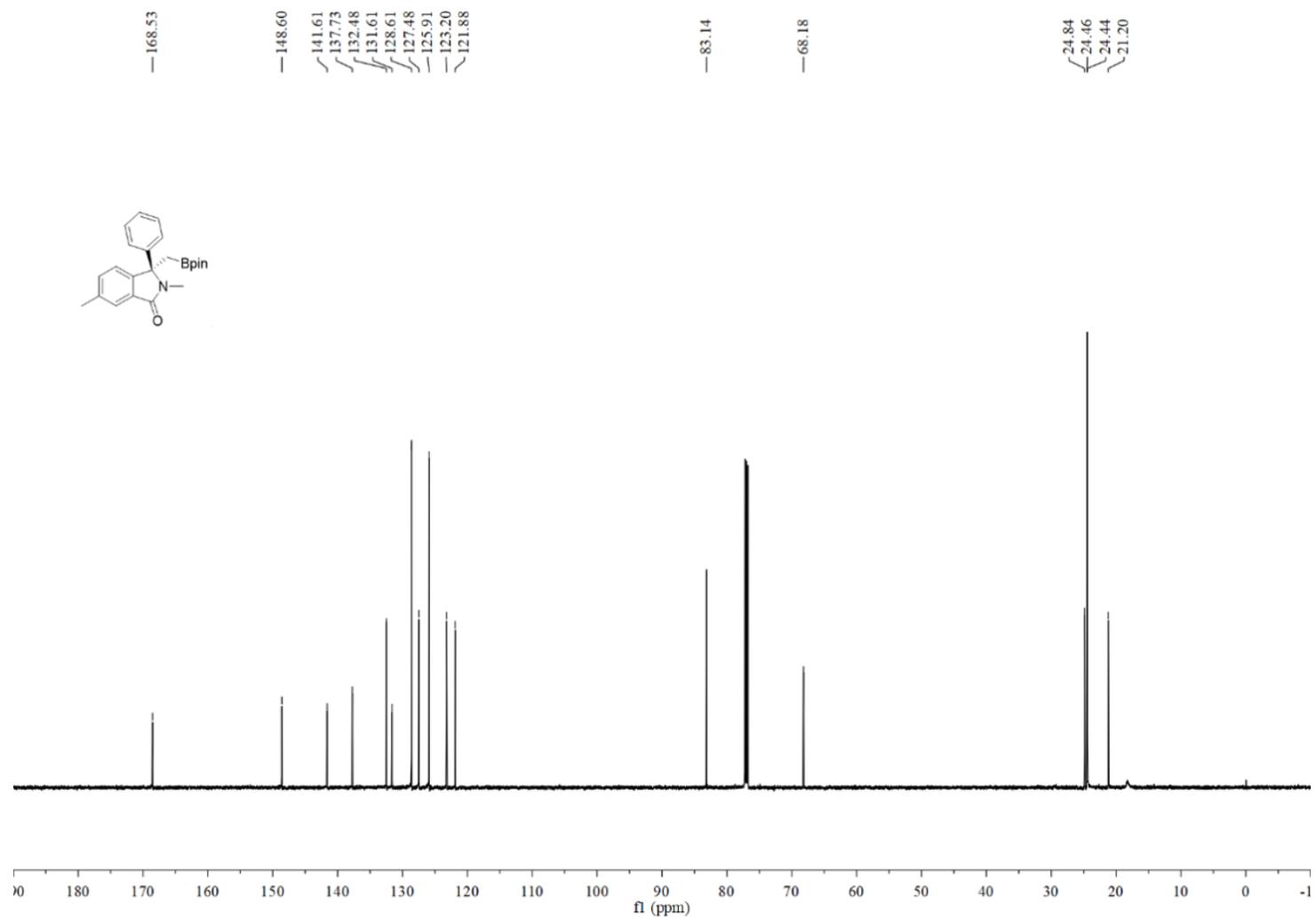


Figure S78. ^{13}C NMR spectrum of compound **2h** (150 MHz, CDCl_3)

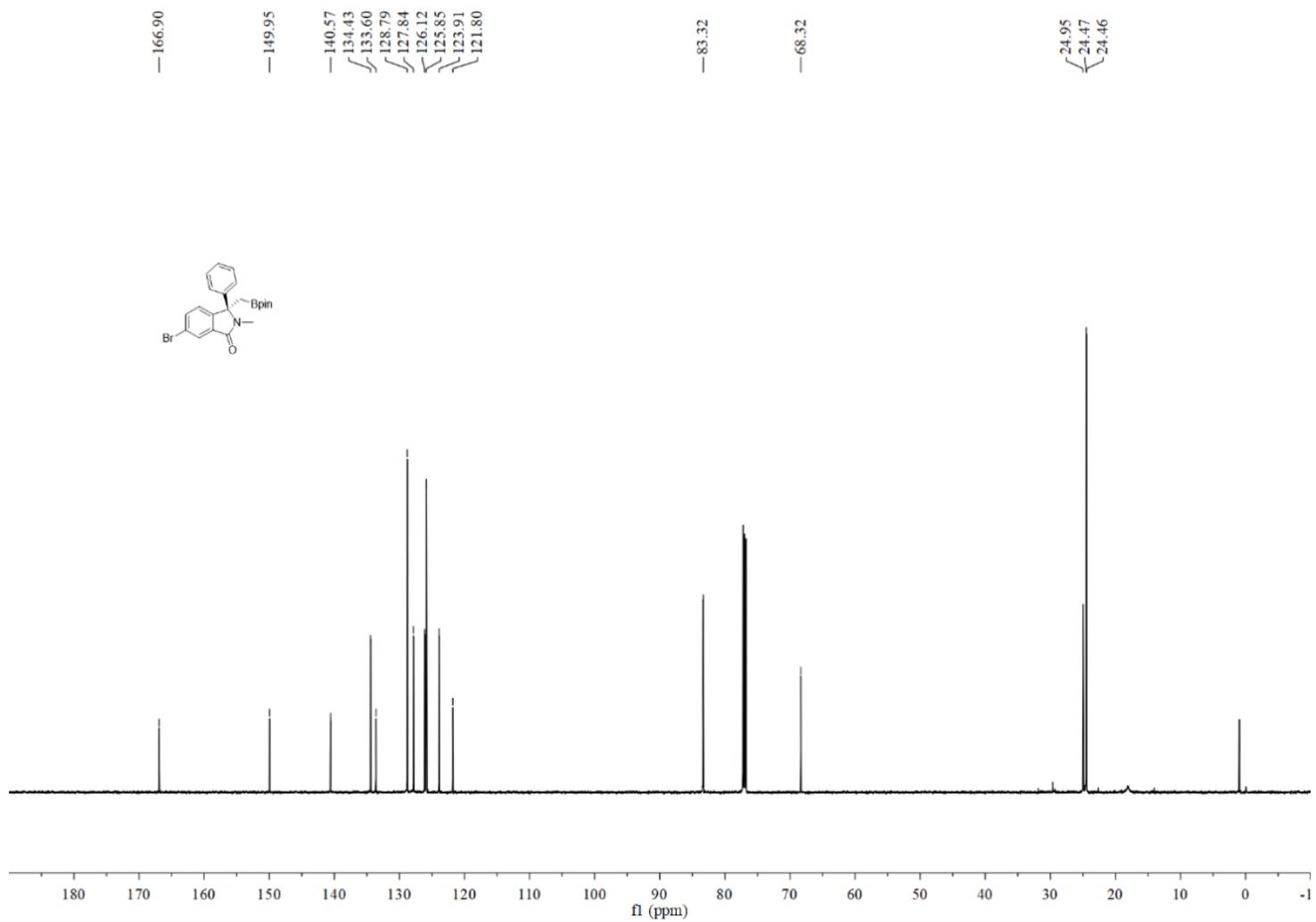


Figure S80. ^{13}C NMR spectrum of compound **2i** (150 MHz, CDCl_3)

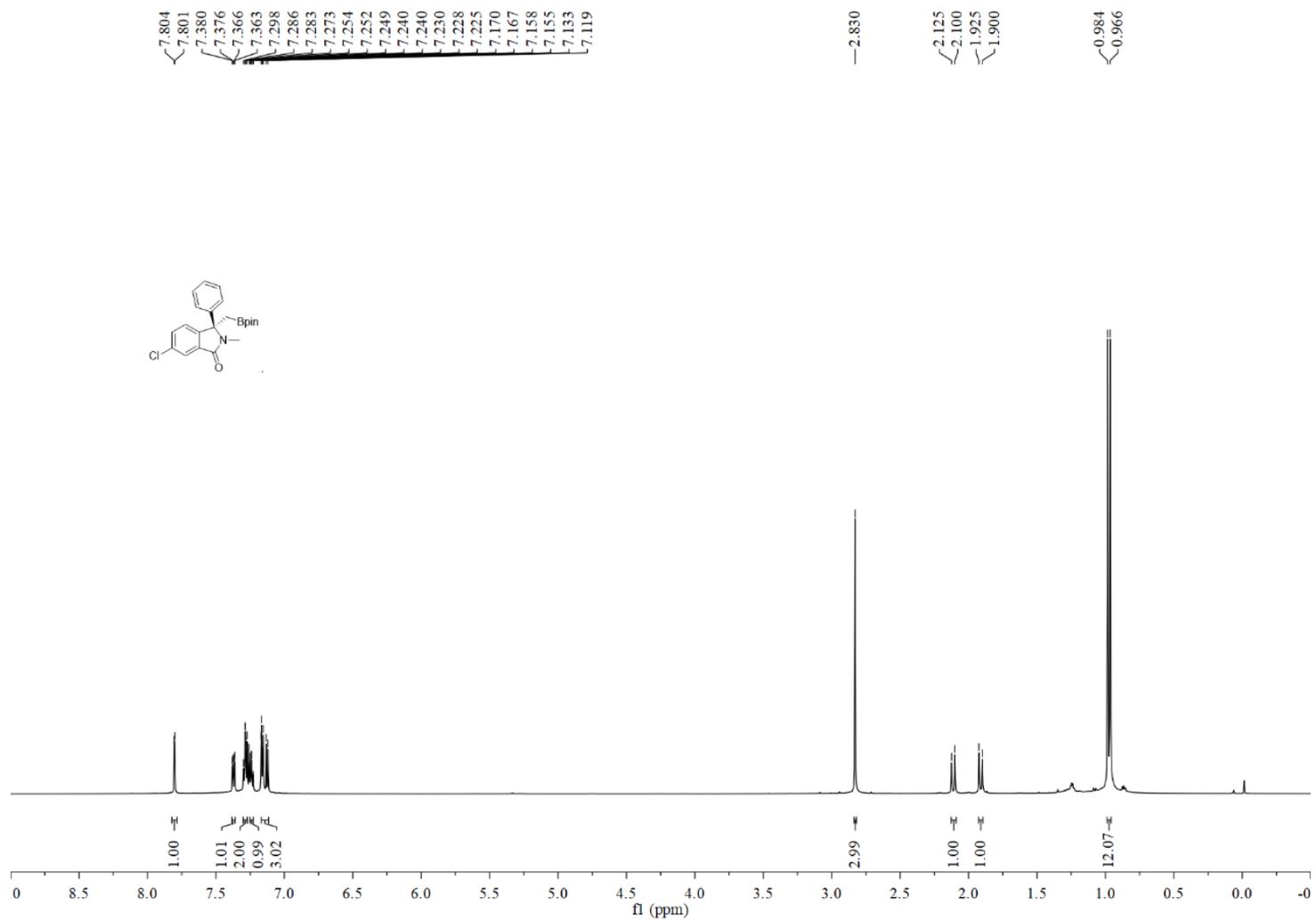


Figure S81. ¹H NMR spectrum of compound **2j** (600 MHz, CDCl₃)

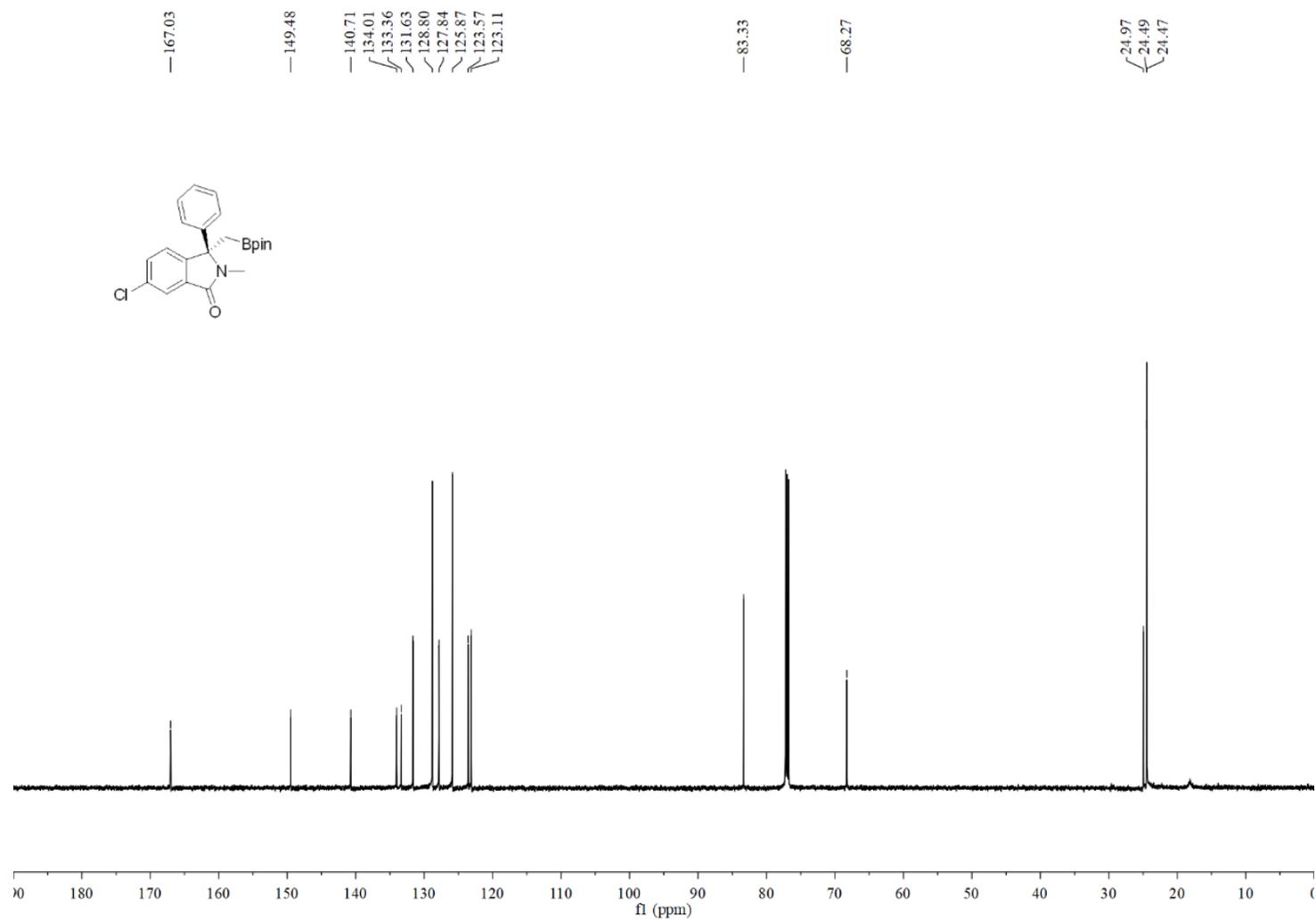


Figure S82. ^{13}C NMR spectrum of compound **2j** (150 MHz, CDCl_3)

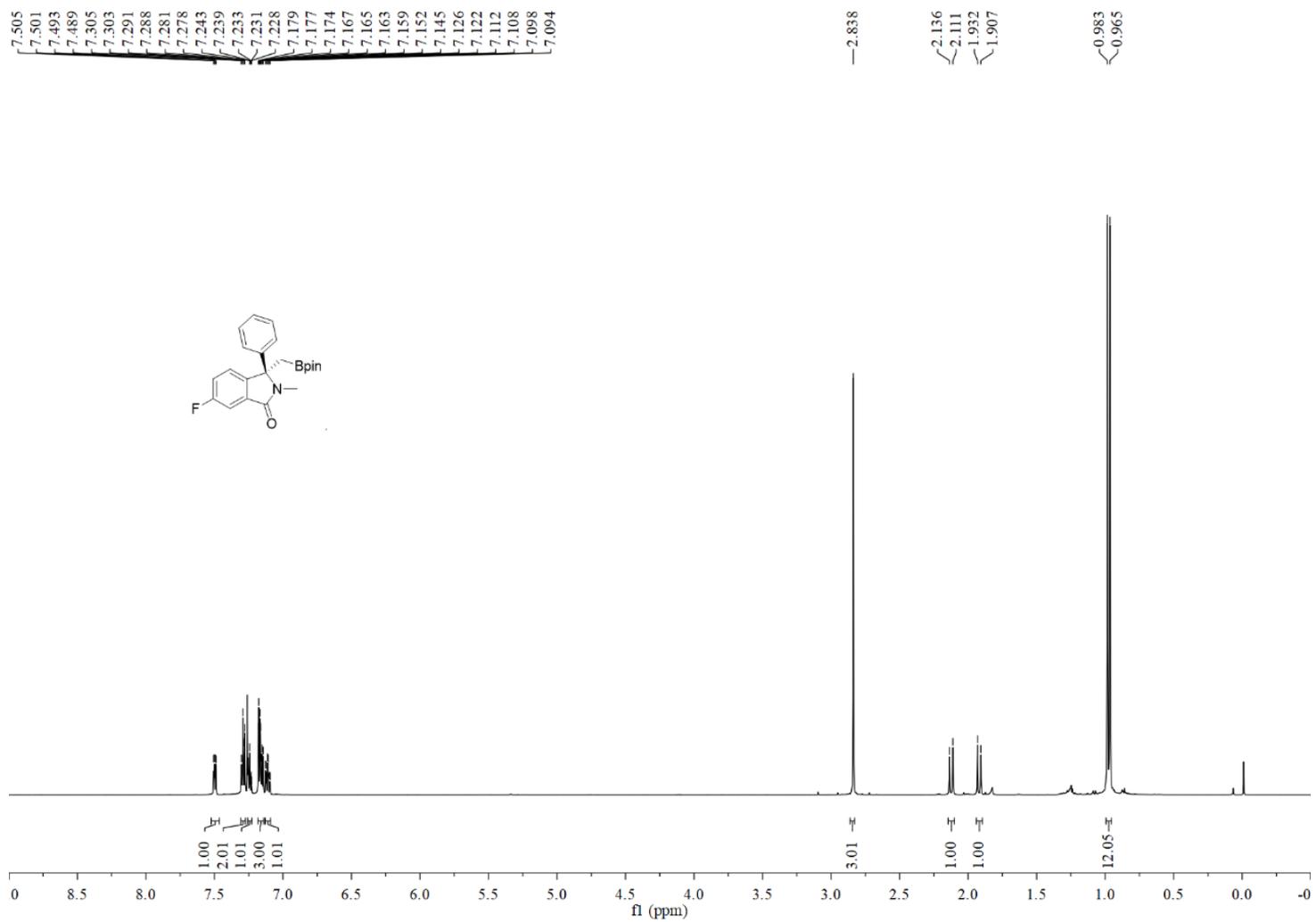


Figure S83. ¹H NMR spectrum of compound **2k** (600 MHz, CDCl₃)

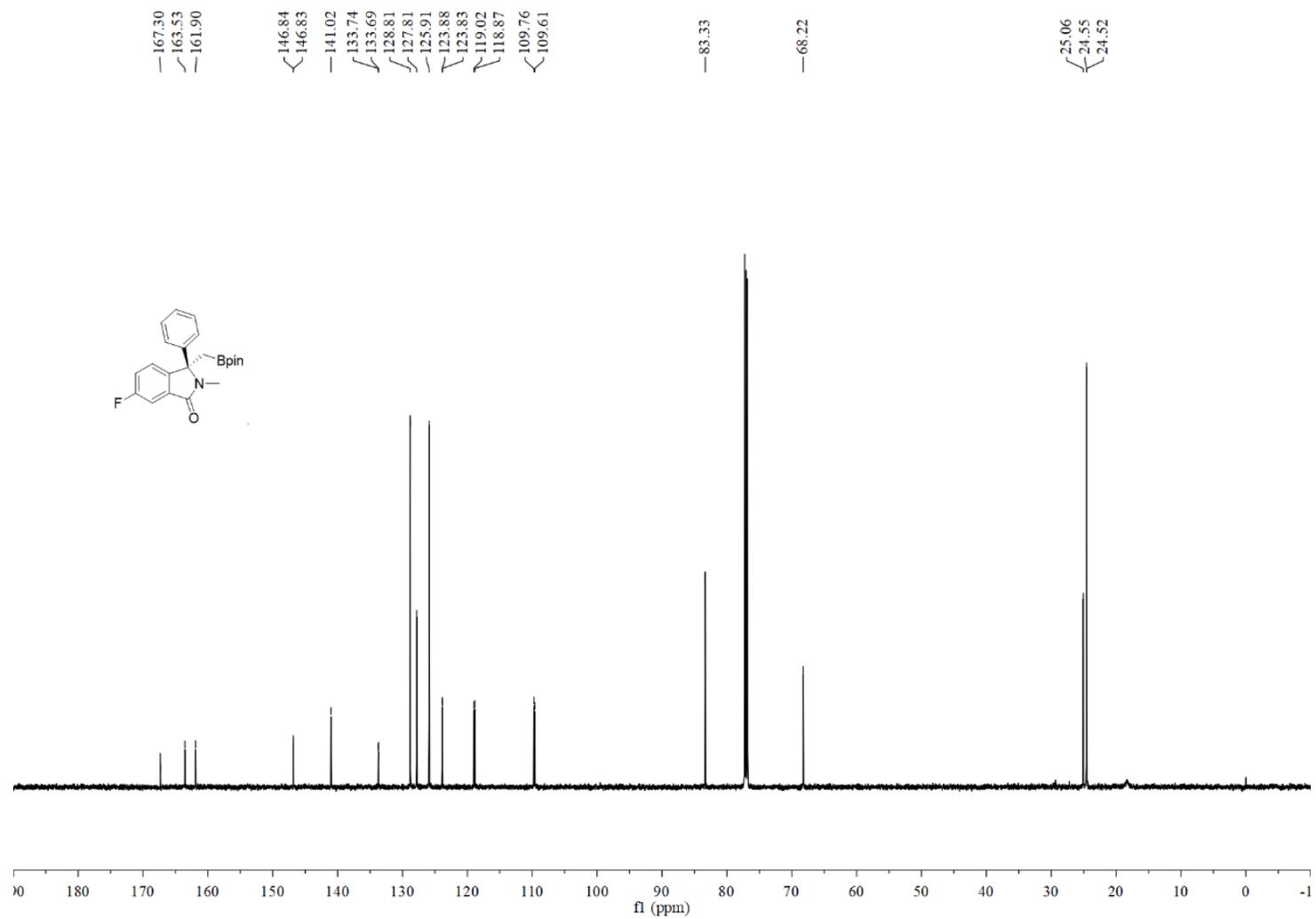


Figure S84. ¹³C NMR spectrum of compound **2k** (150 MHz, CDCl₃)

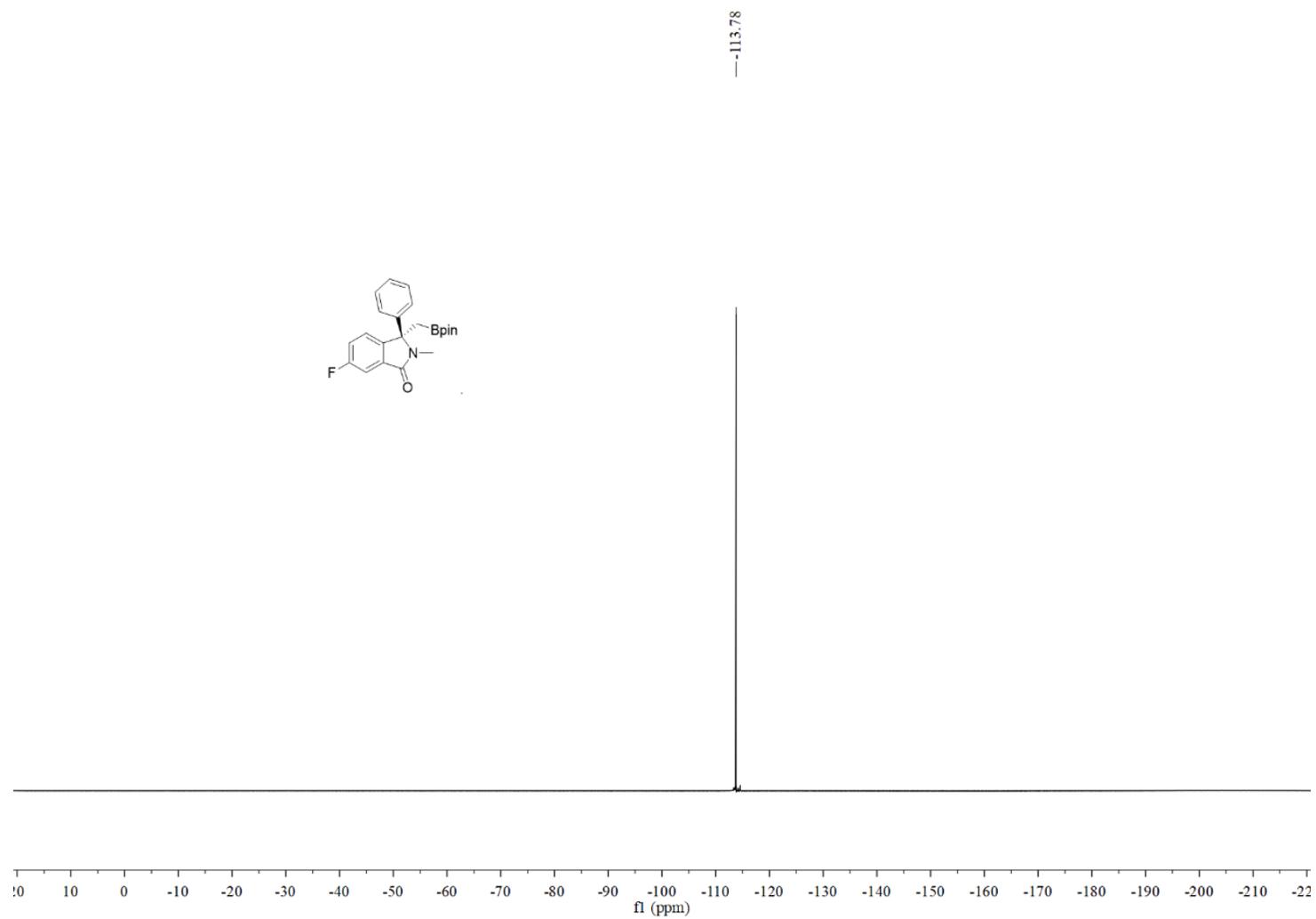


Figure S85. ^{19}F NMR spectrum of compound **2k** (377 MHz, CDCl_3)

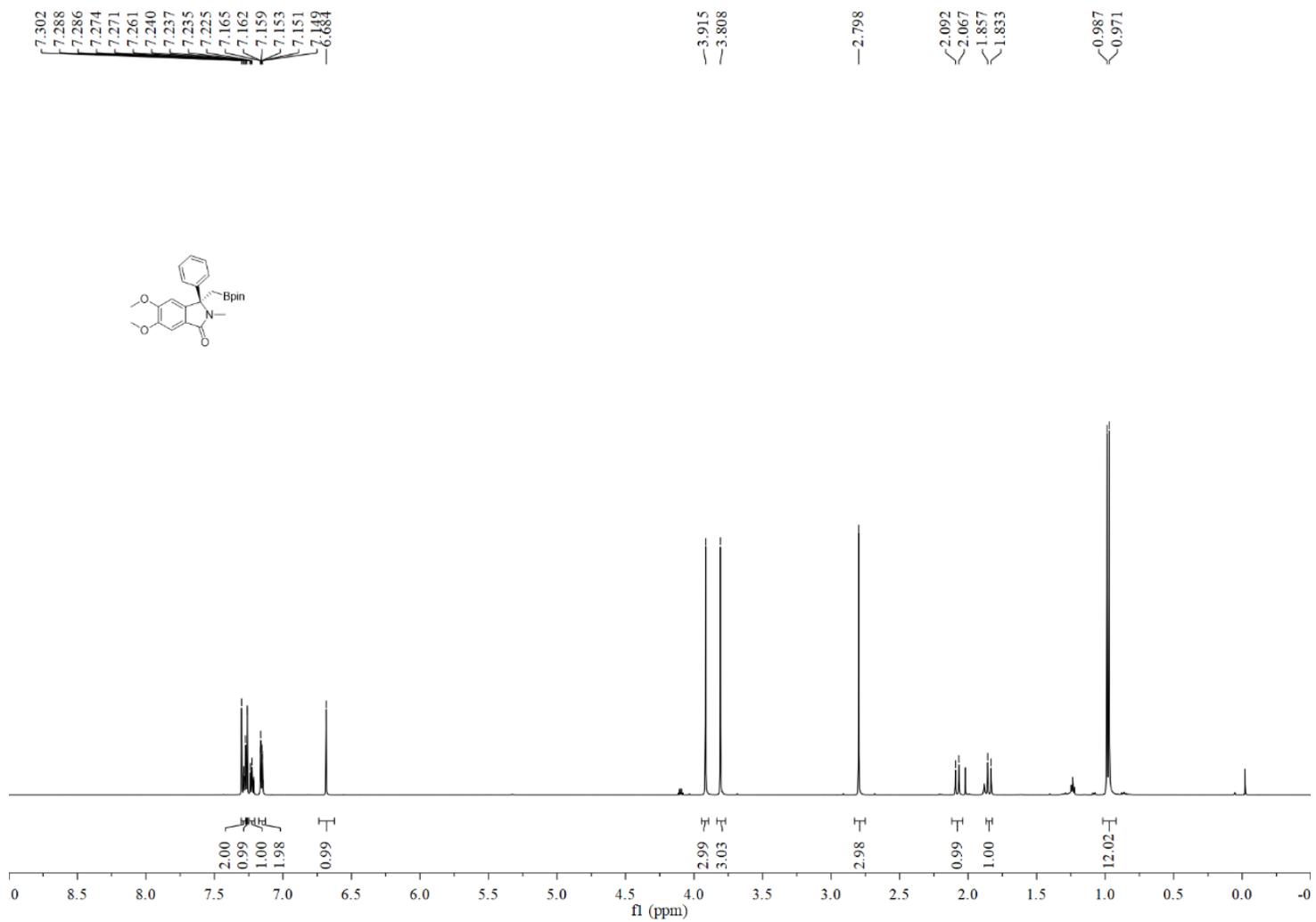


Figure S86. ¹H NMR spectrum of compound **2l** (600 MHz, CDCl₃)

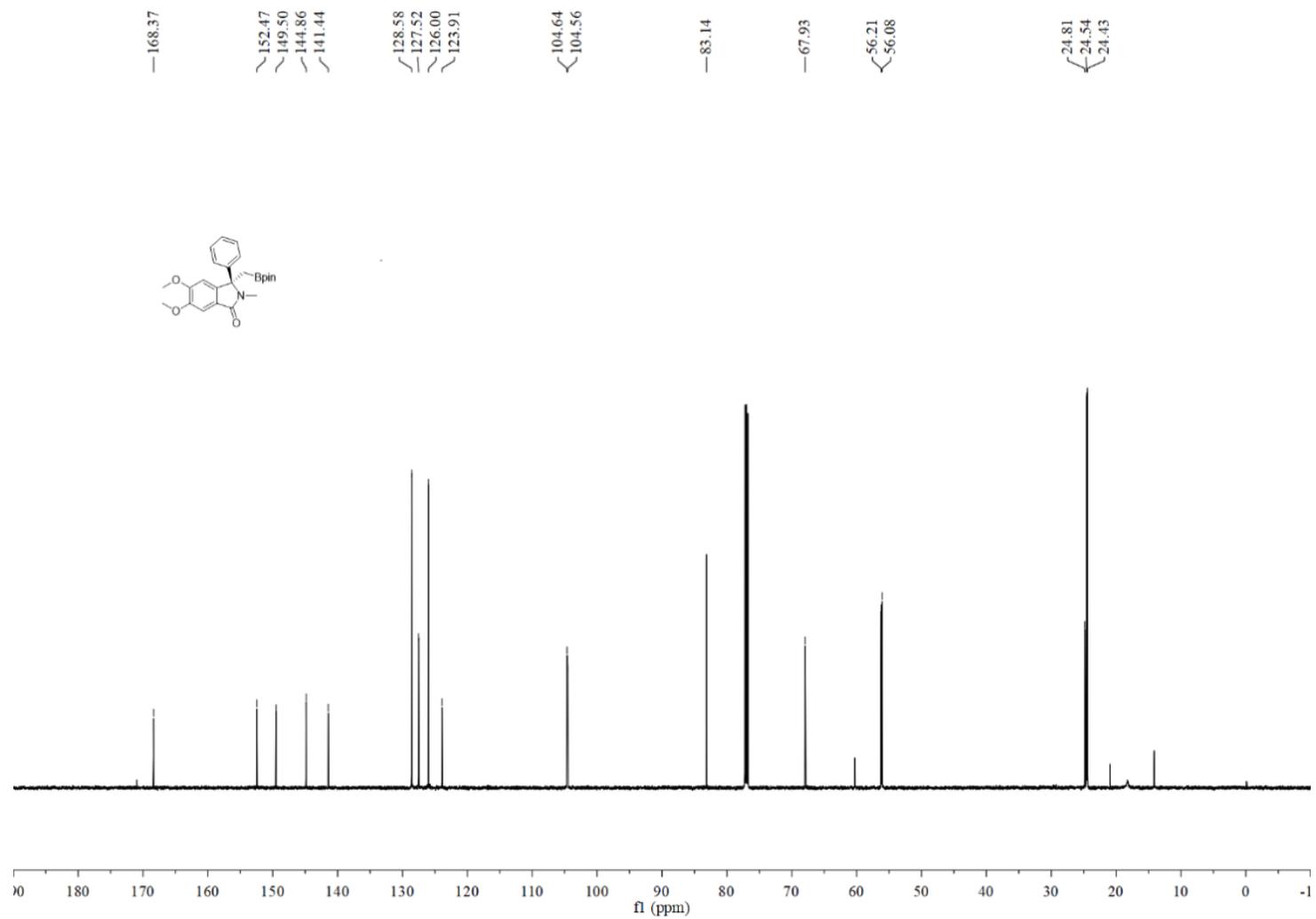


Figure S87. ^{13}C NMR spectrum of compound 21 (150 MHz, CDCl_3)

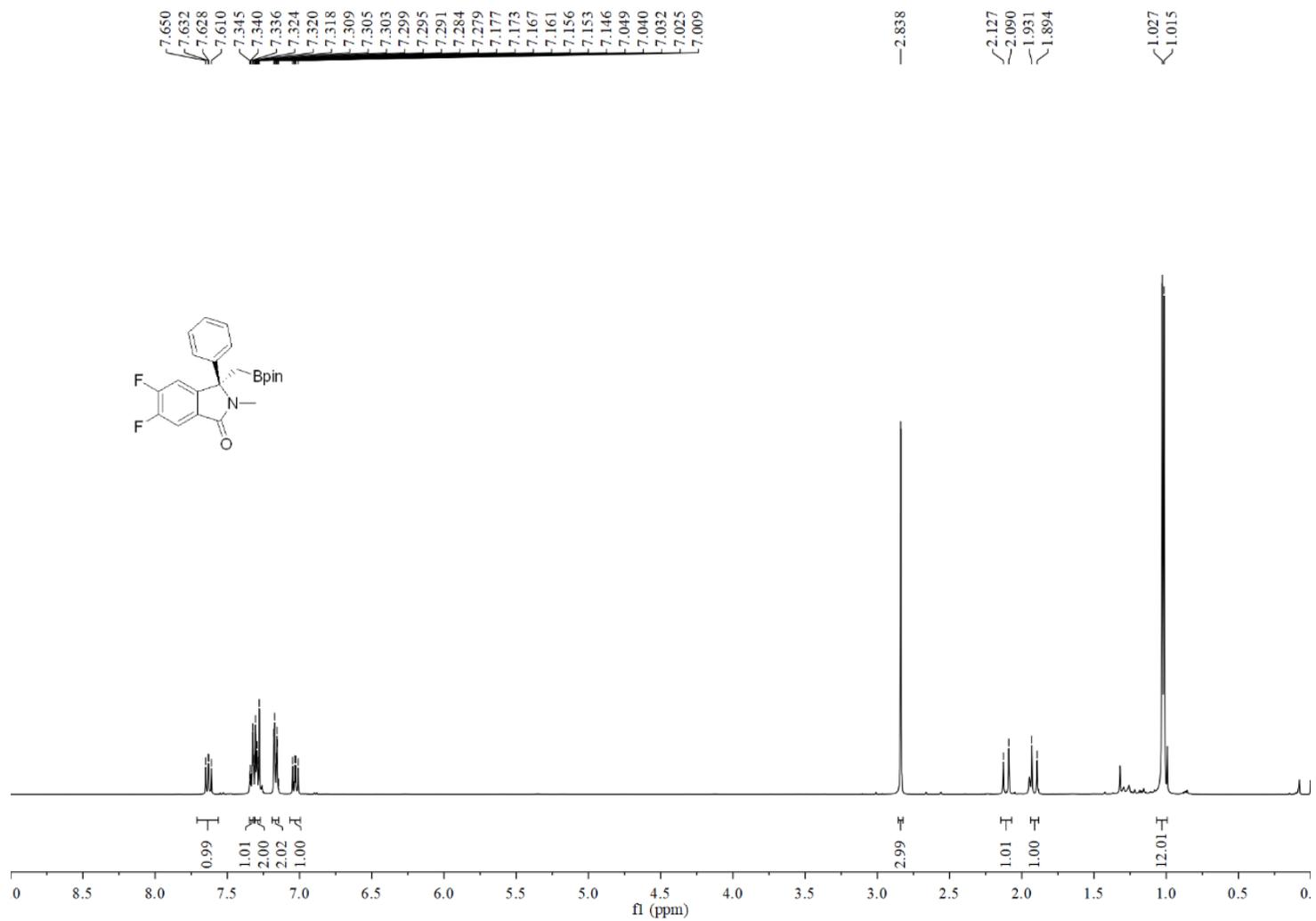


Figure S88. ¹H NMR spectrum of compound **2m** (400 MHz, CDCl₃)

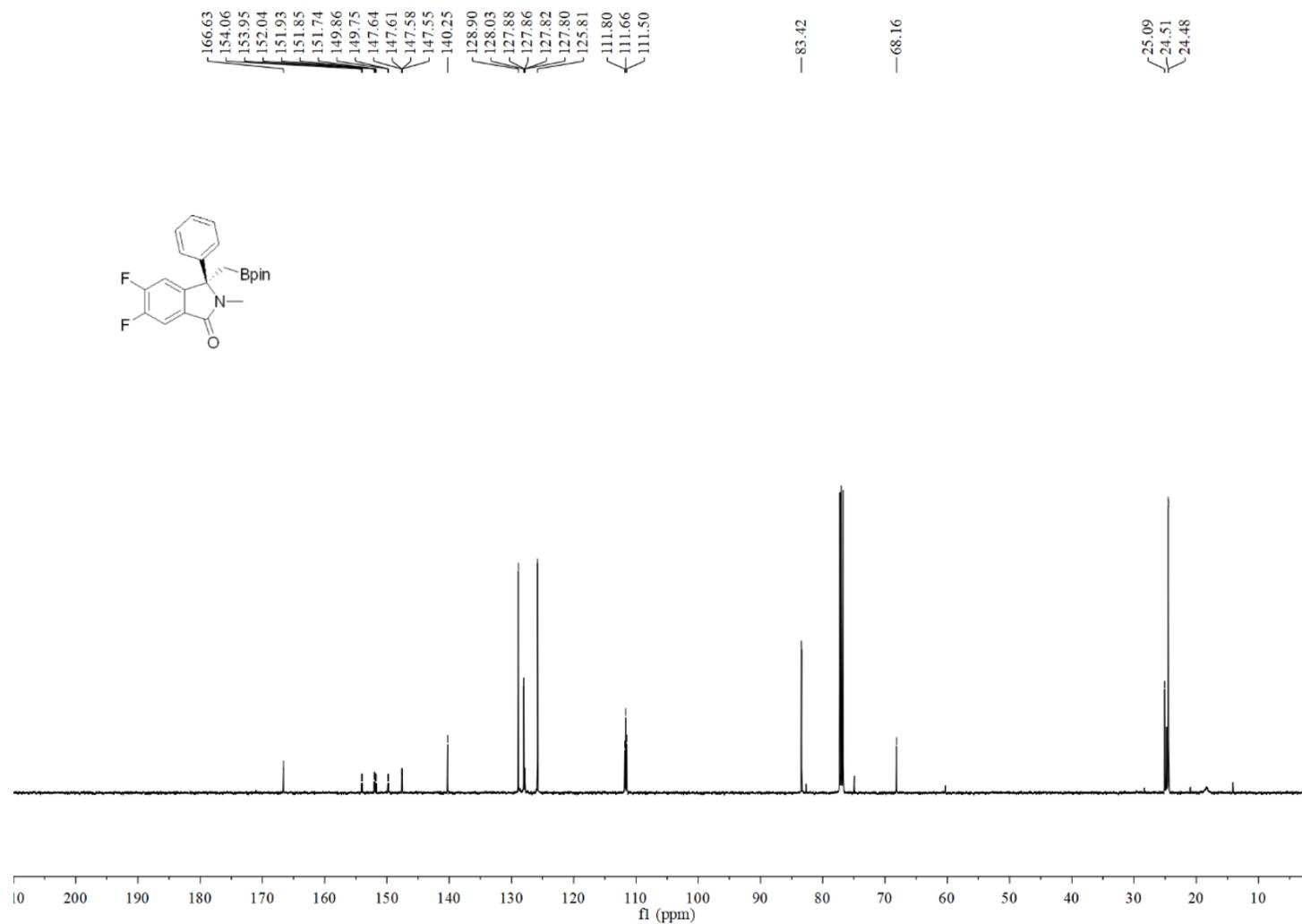


Figure S89. ^{13}C NMR spectrum of compound **2m** (125 MHz, CDCl_3)

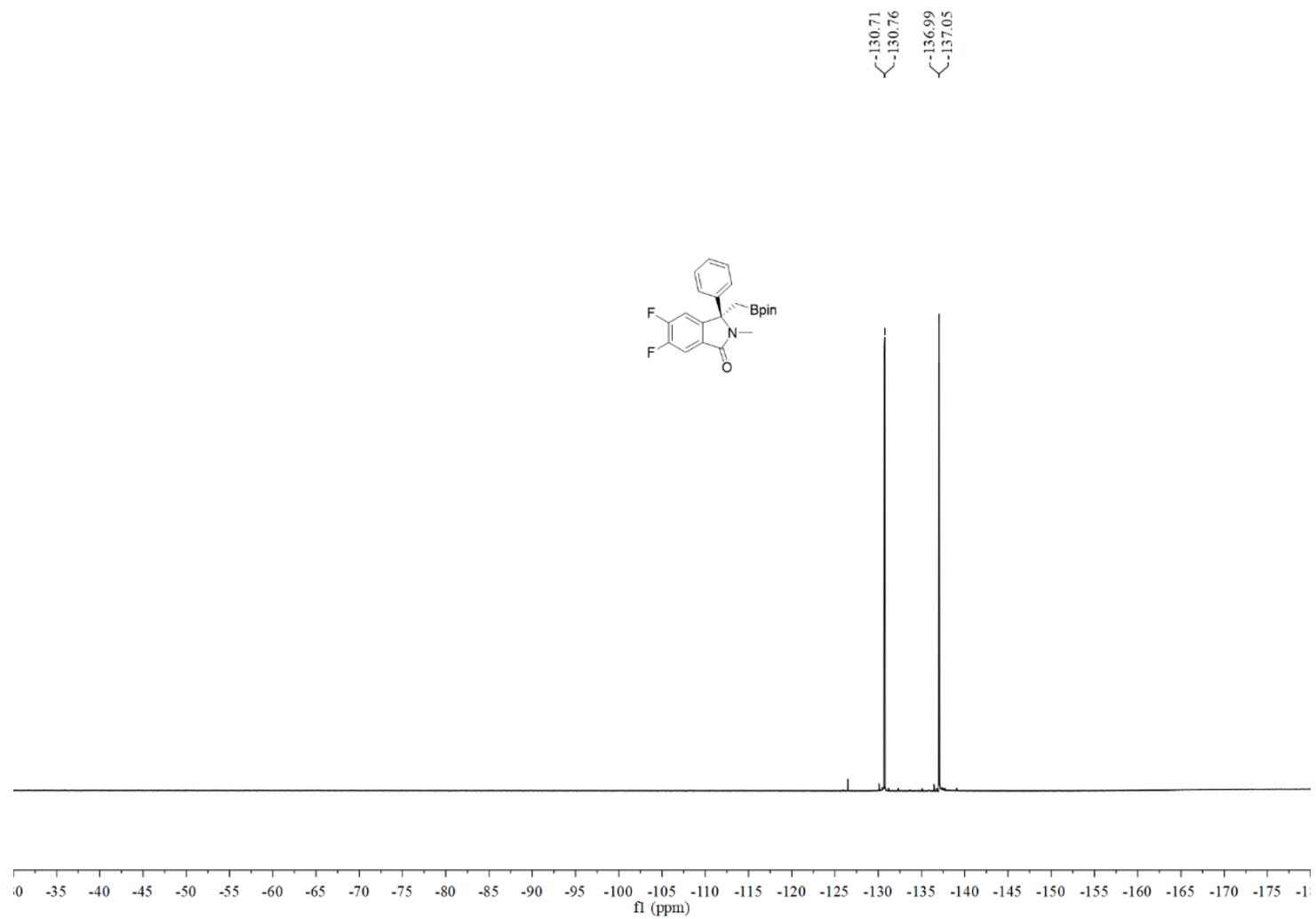


Figure S90. ^{19}F NMR spectrum of compound **2m** (377 MHz, CDCl_3)

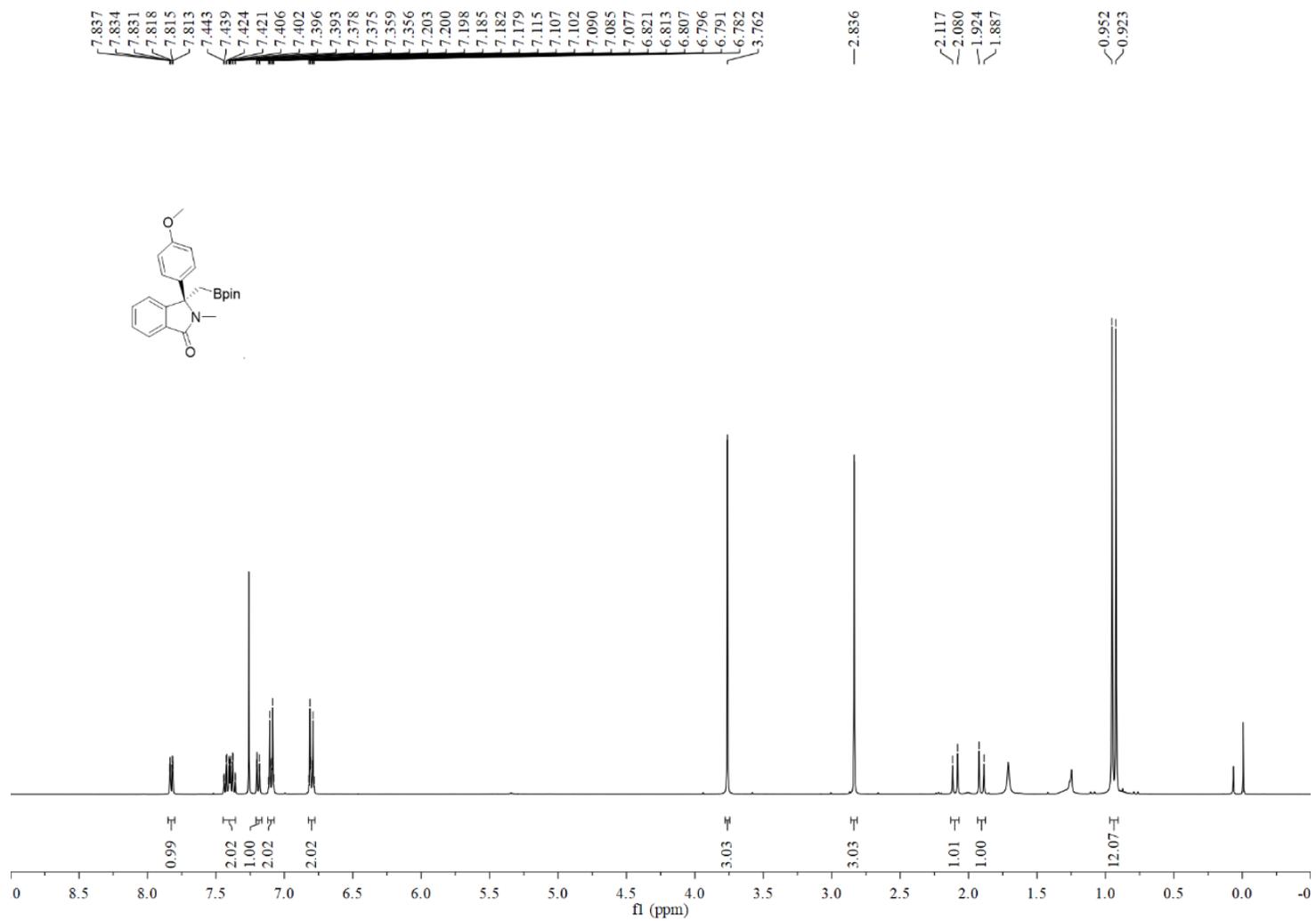


Figure S91. ^1H NMR spectrum of compound **2n** (400 MHz, CDCl_3)

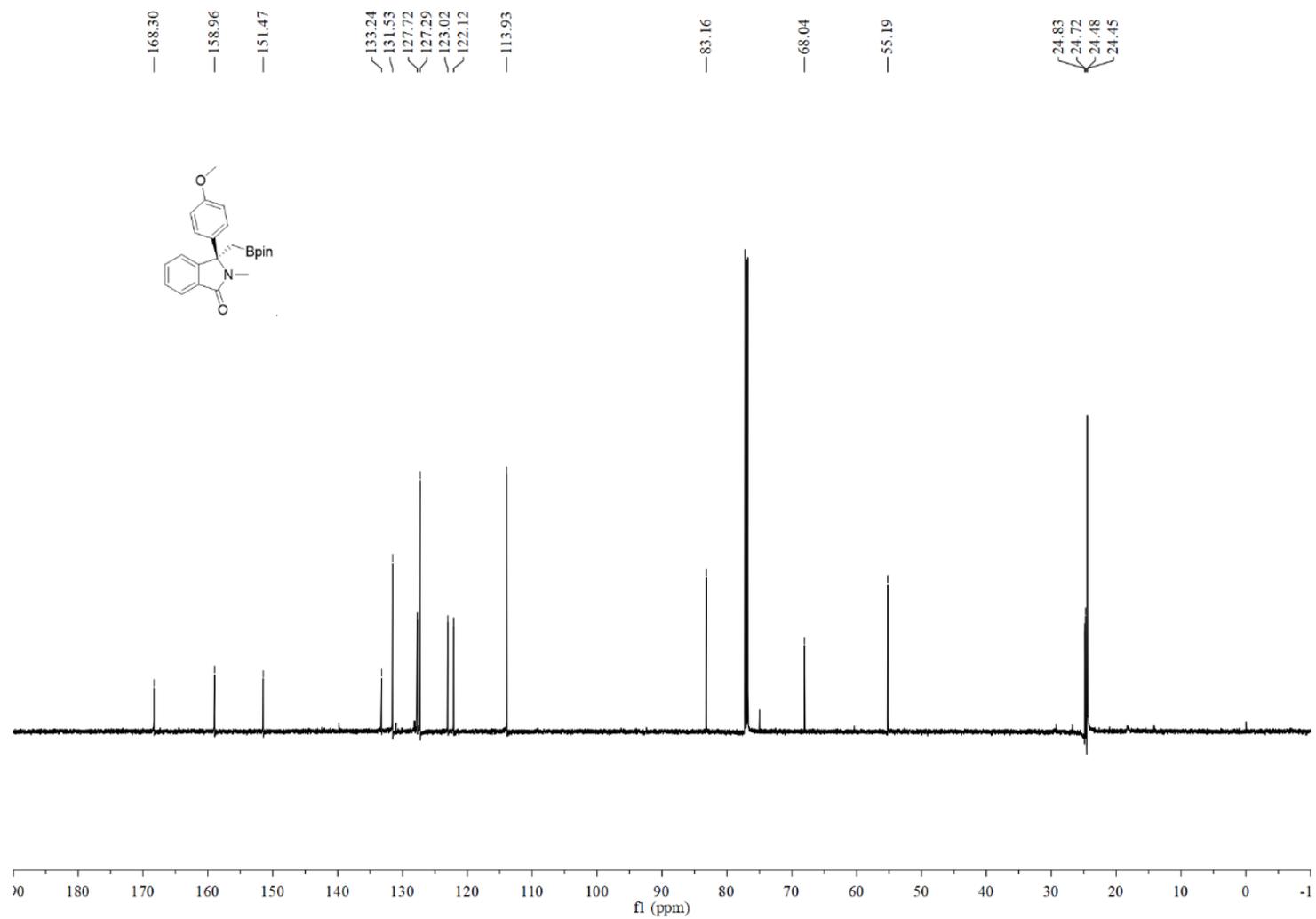


Figure S92. ^{13}C NMR spectrum of compound **2n** (150 MHz, CDCl_3)

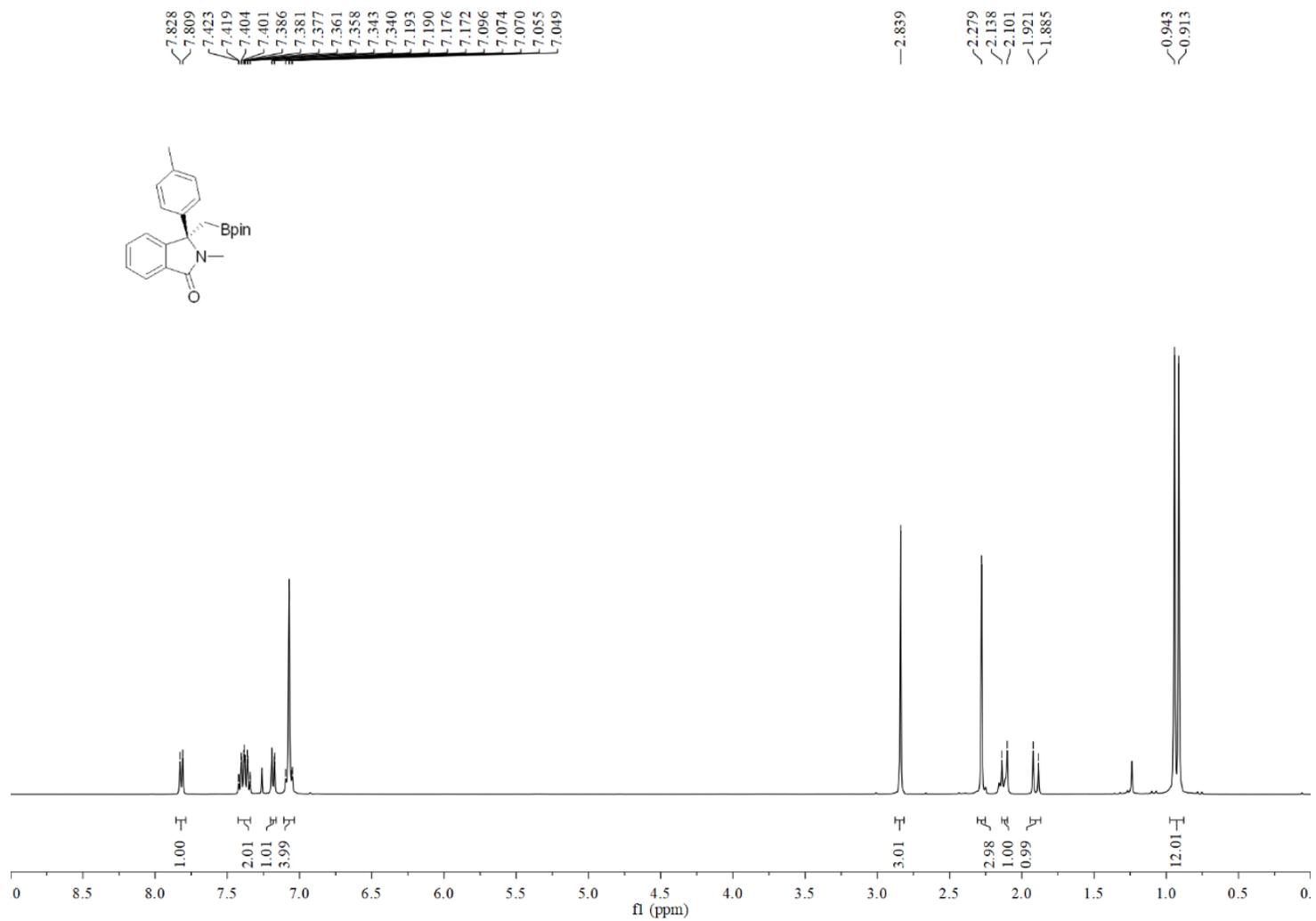


Figure S93. ^1H NMR spectrum of compound **2o** (400 MHz, CDCl_3)

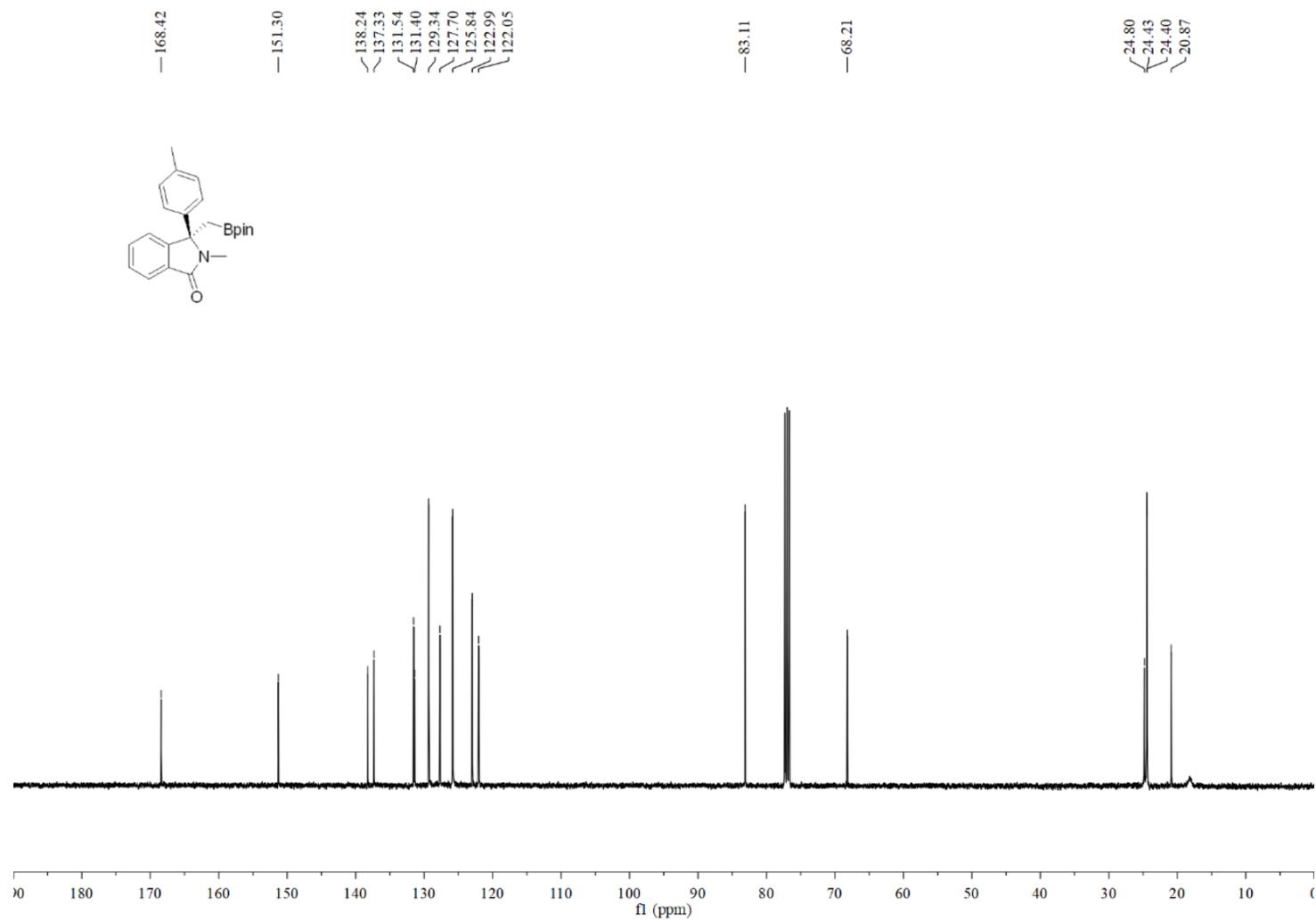


Figure S94. ^{13}C NMR spectrum of compound **2o** (100 MHz, CDCl_3)

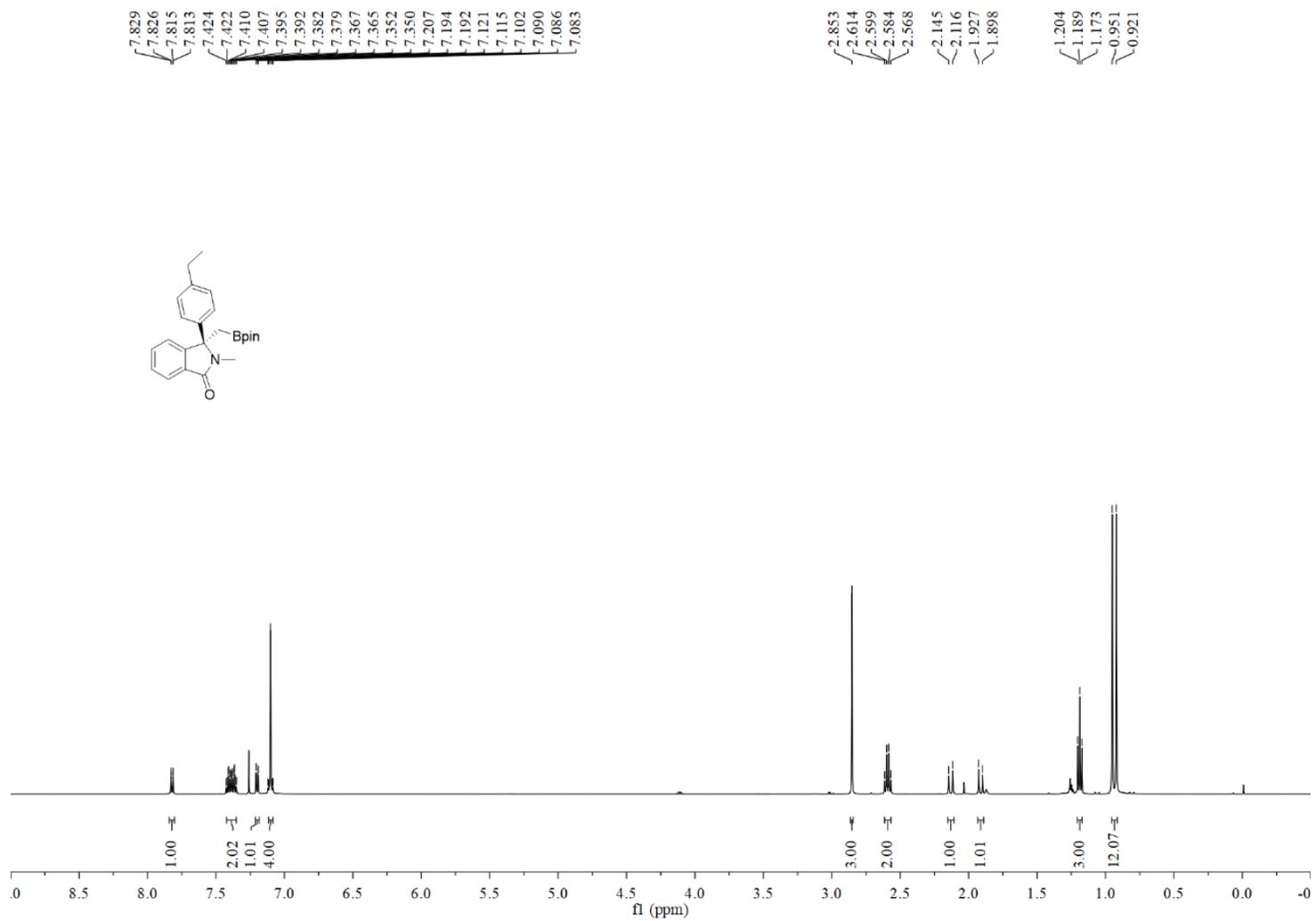


Figure S95. ^1H NMR spectrum of compound **2p** (500 MHz, CDCl_3)

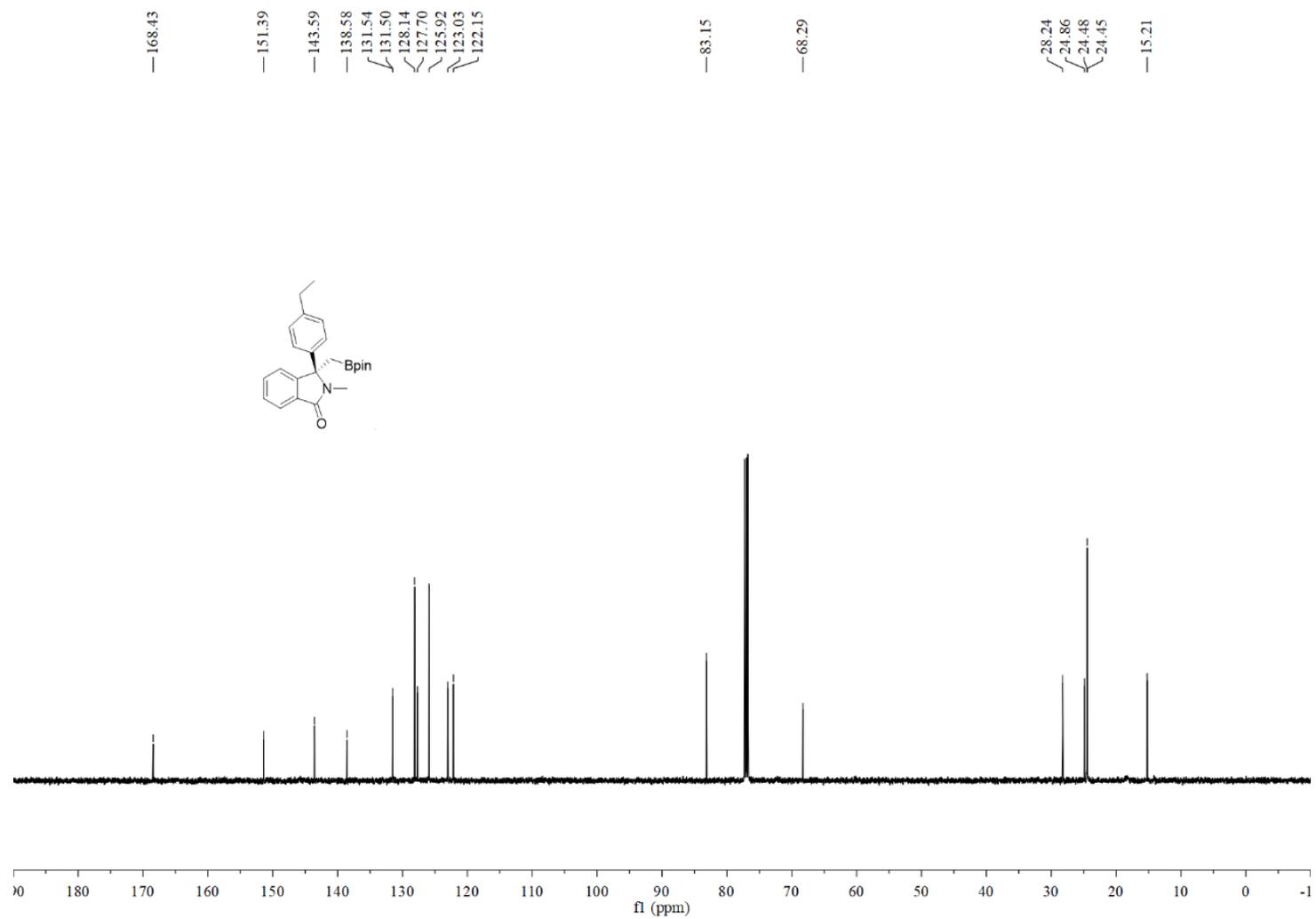


Figure S96. ^{13}C NMR spectrum of compound **2p** (125 MHz, CDCl_3)

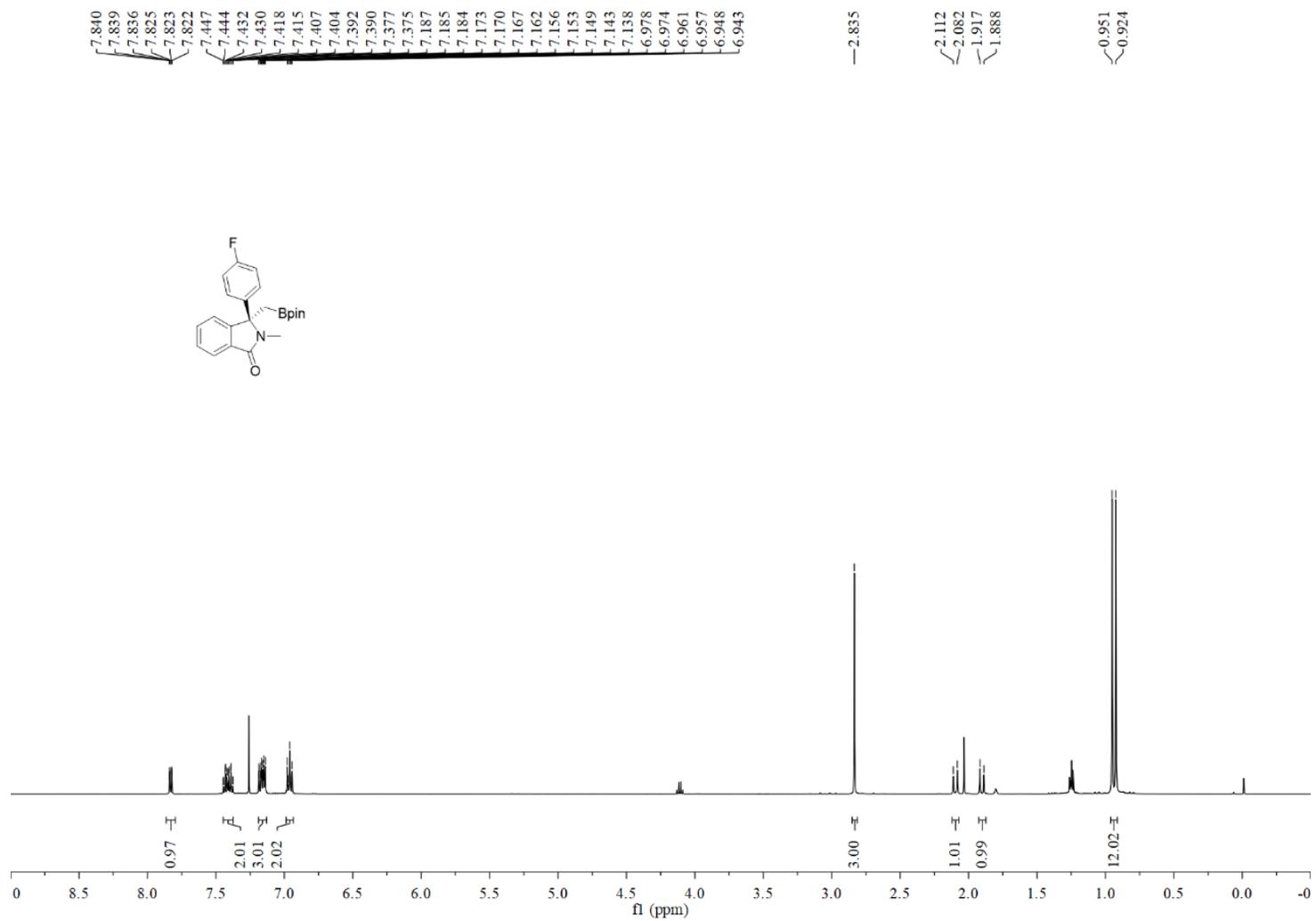


Figure S97. ¹H NMR spectrum of compound **2q** (500 MHz, CDCl₃)

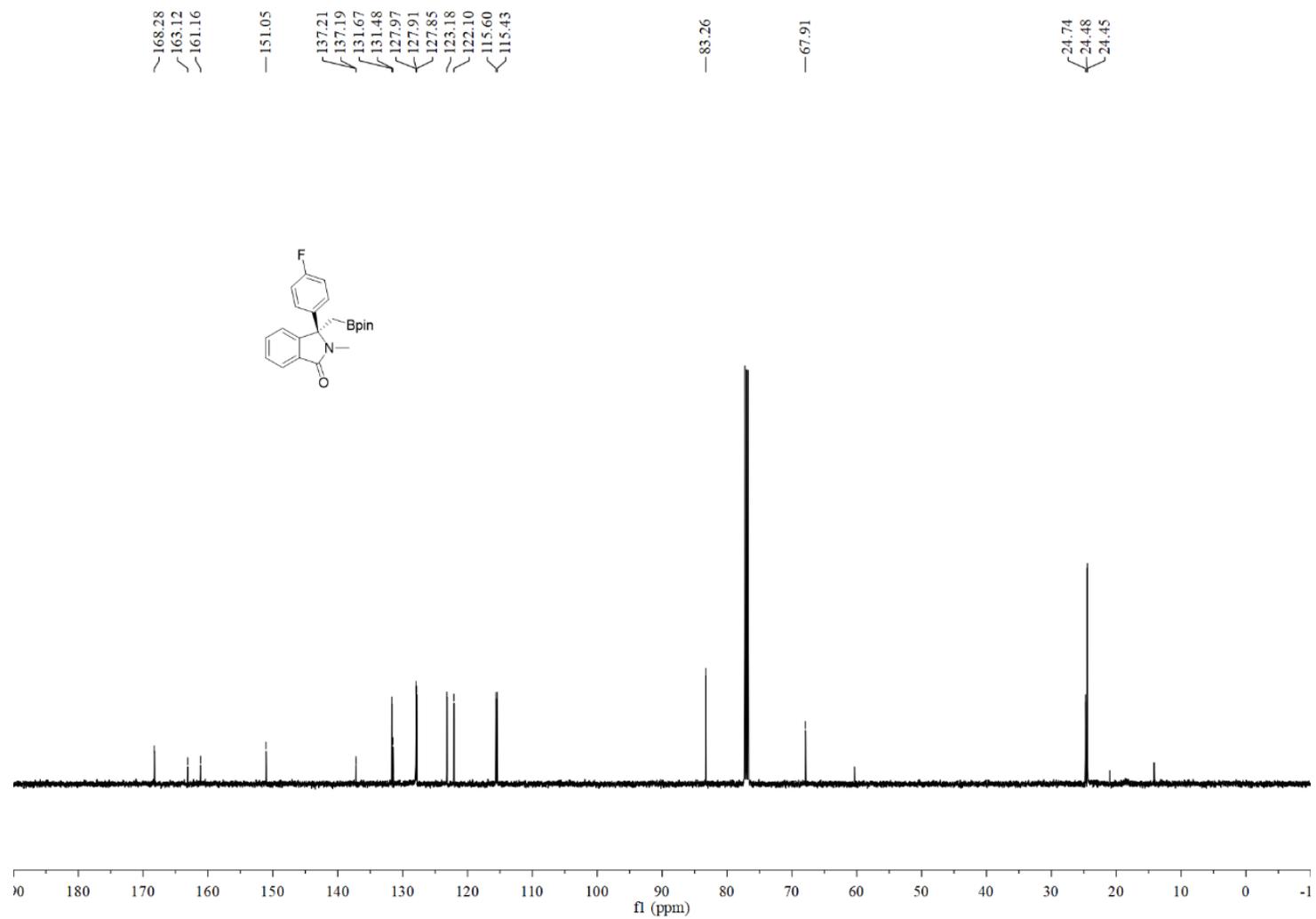


Figure S98. ^{13}C NMR spectrum of compound **2q** (125 MHz, CDCl_3)

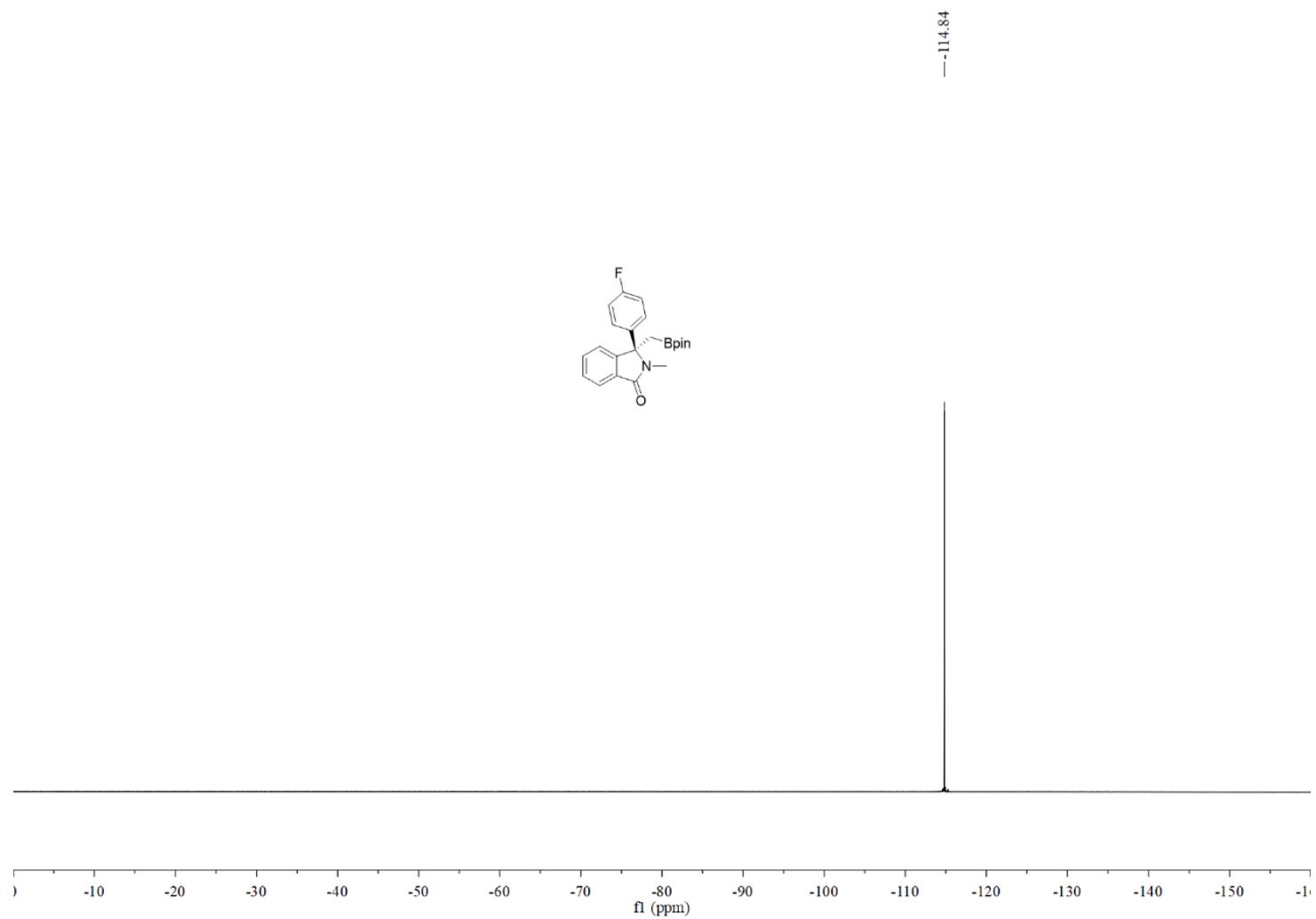


Figure S99. ^{19}F NMR spectrum of compound **2q** (377 MHz, CDCl_3)

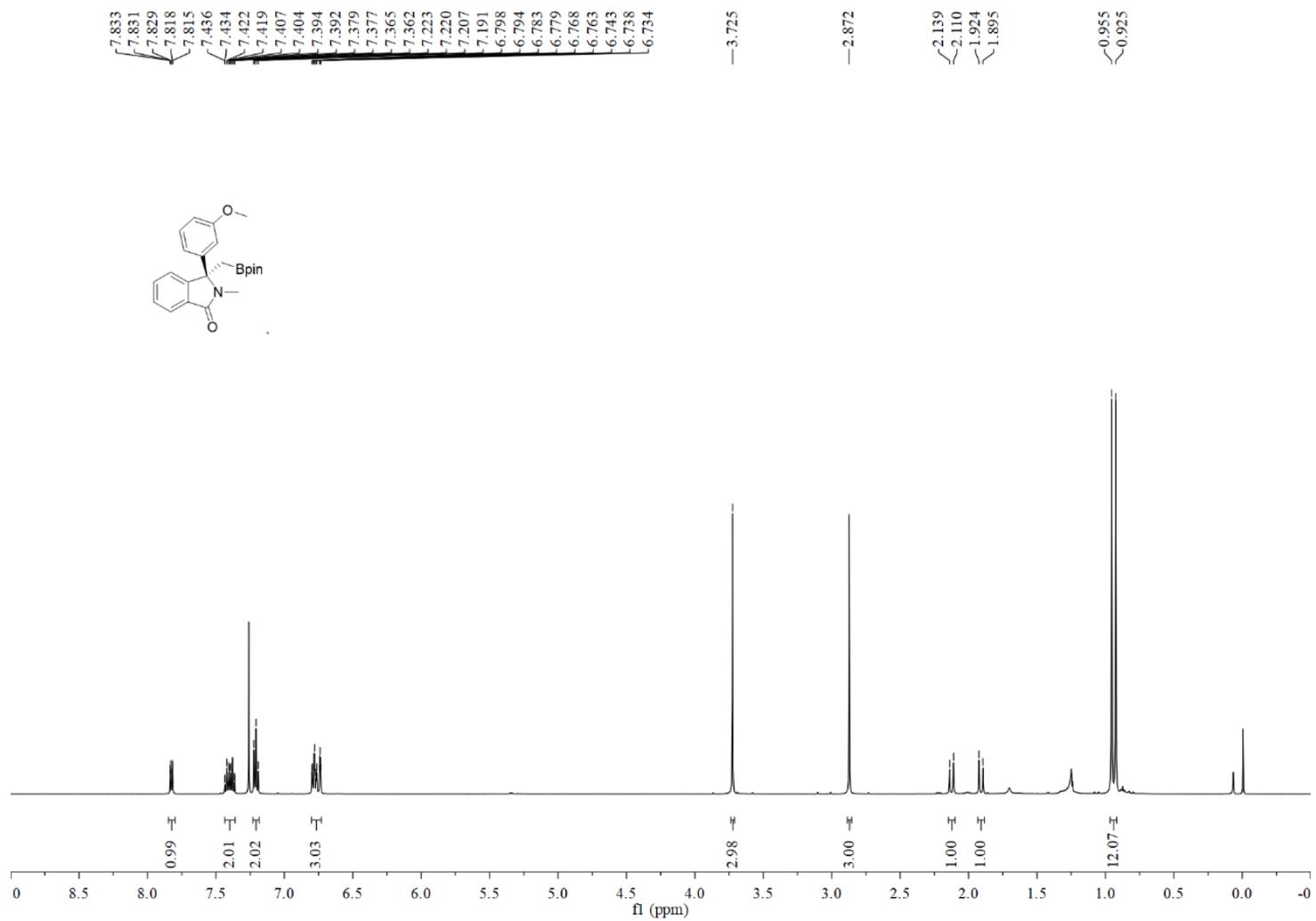


Figure S100. ¹H NMR spectrum of compound **2r** (500 MHz, CDCl₃)

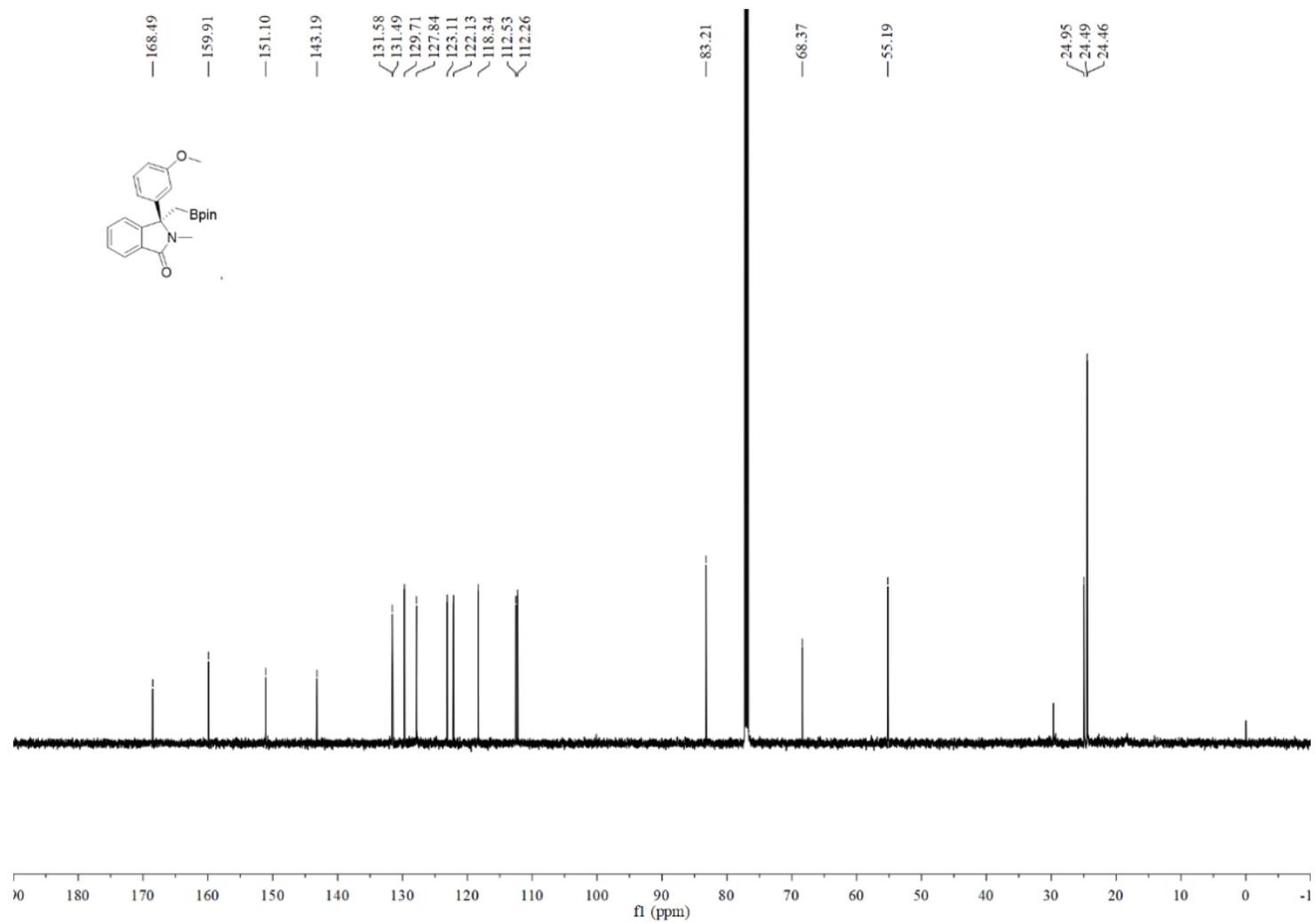


Figure S101. ^{13}C NMR spectrum of compound **2r** (150 MHz, CDCl_3)

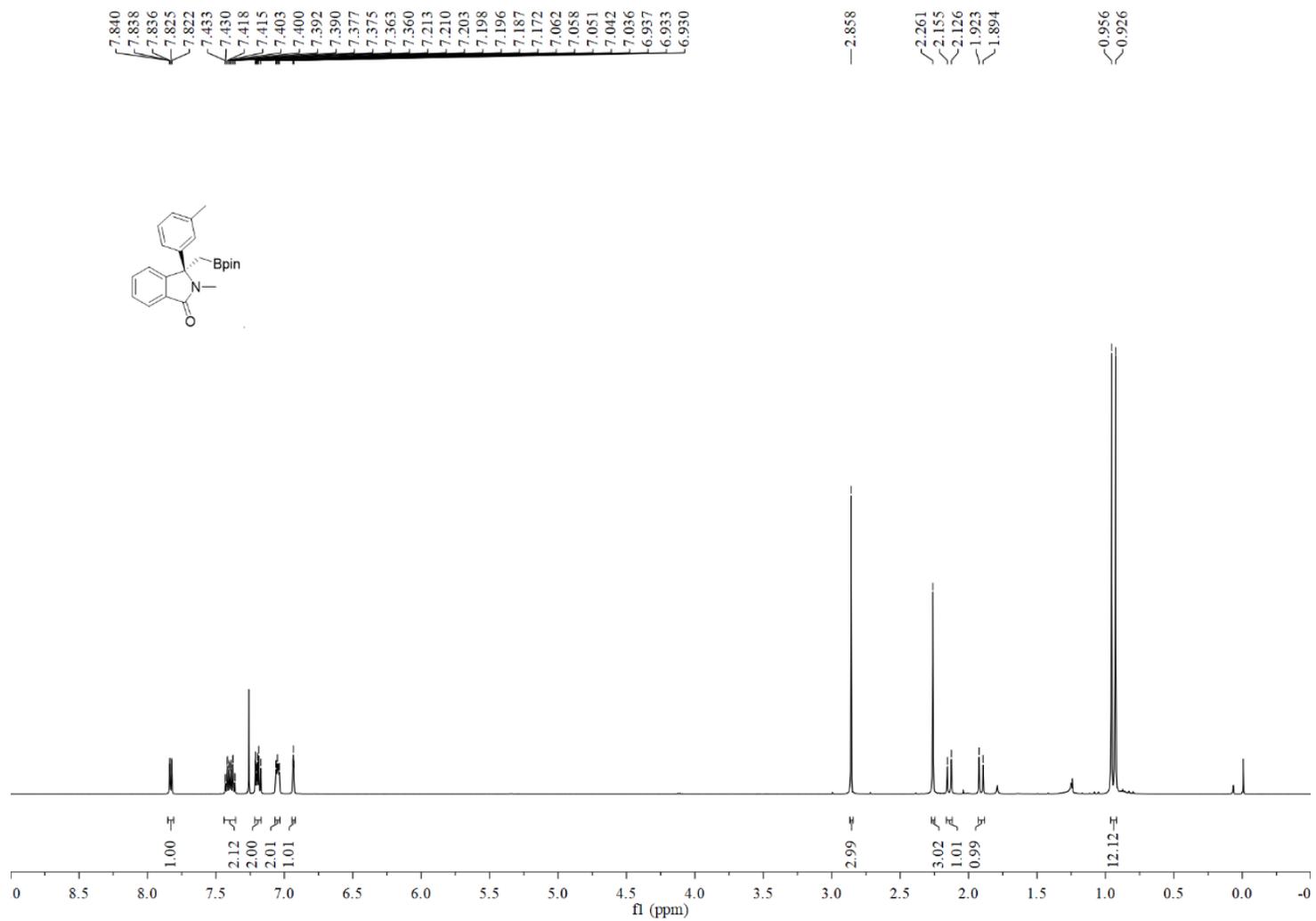


Figure S102. ¹H NMR spectrum of compound 2s (500 MHz, CDCl₃)

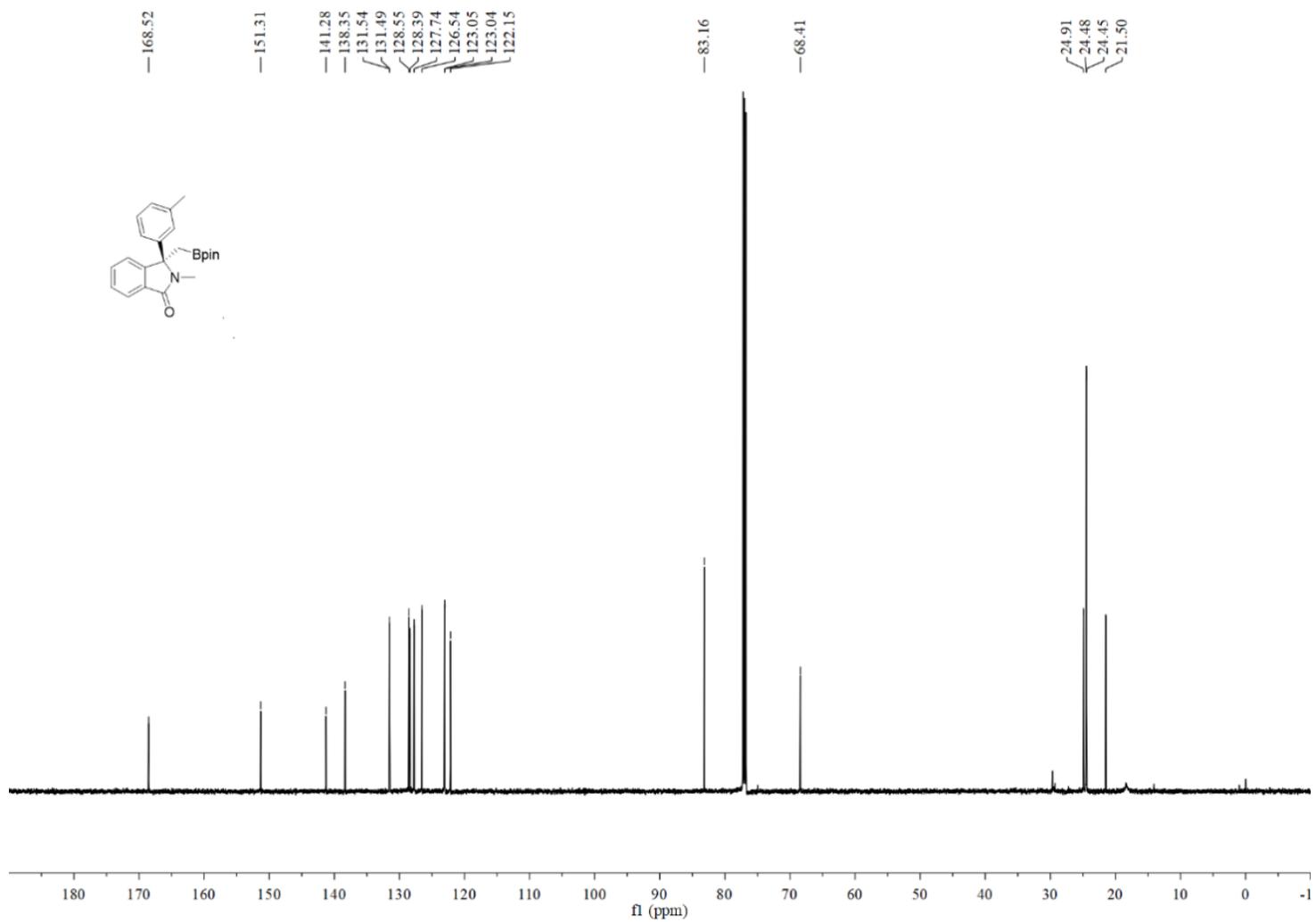


Figure S103. ¹³C NMR spectrum of compound 2s (150 MHz, CDCl₃)

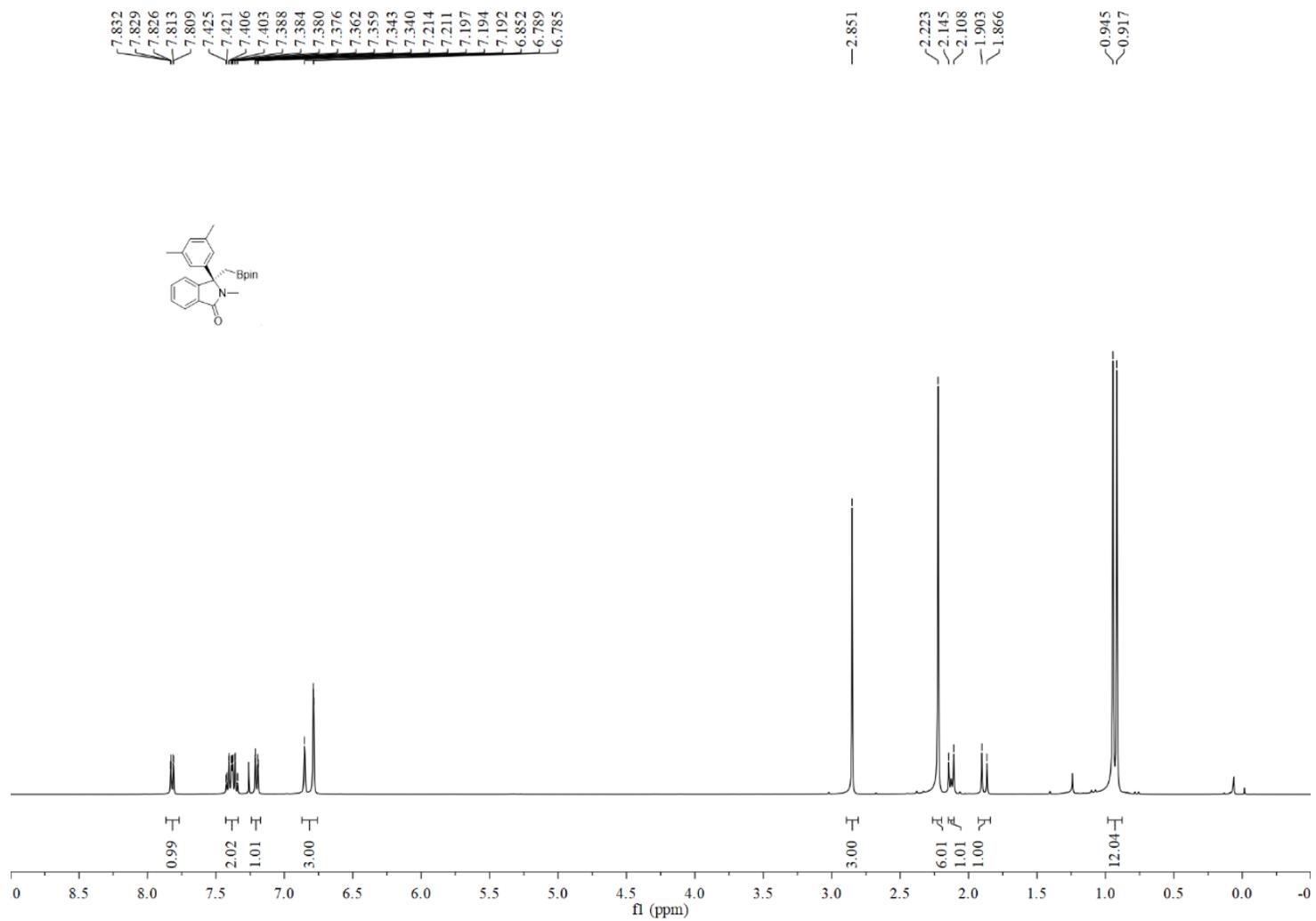


Figure S104. $^1\text{H NMR}$ spectrum of compound **2t** (400 MHz, CDCl_3)

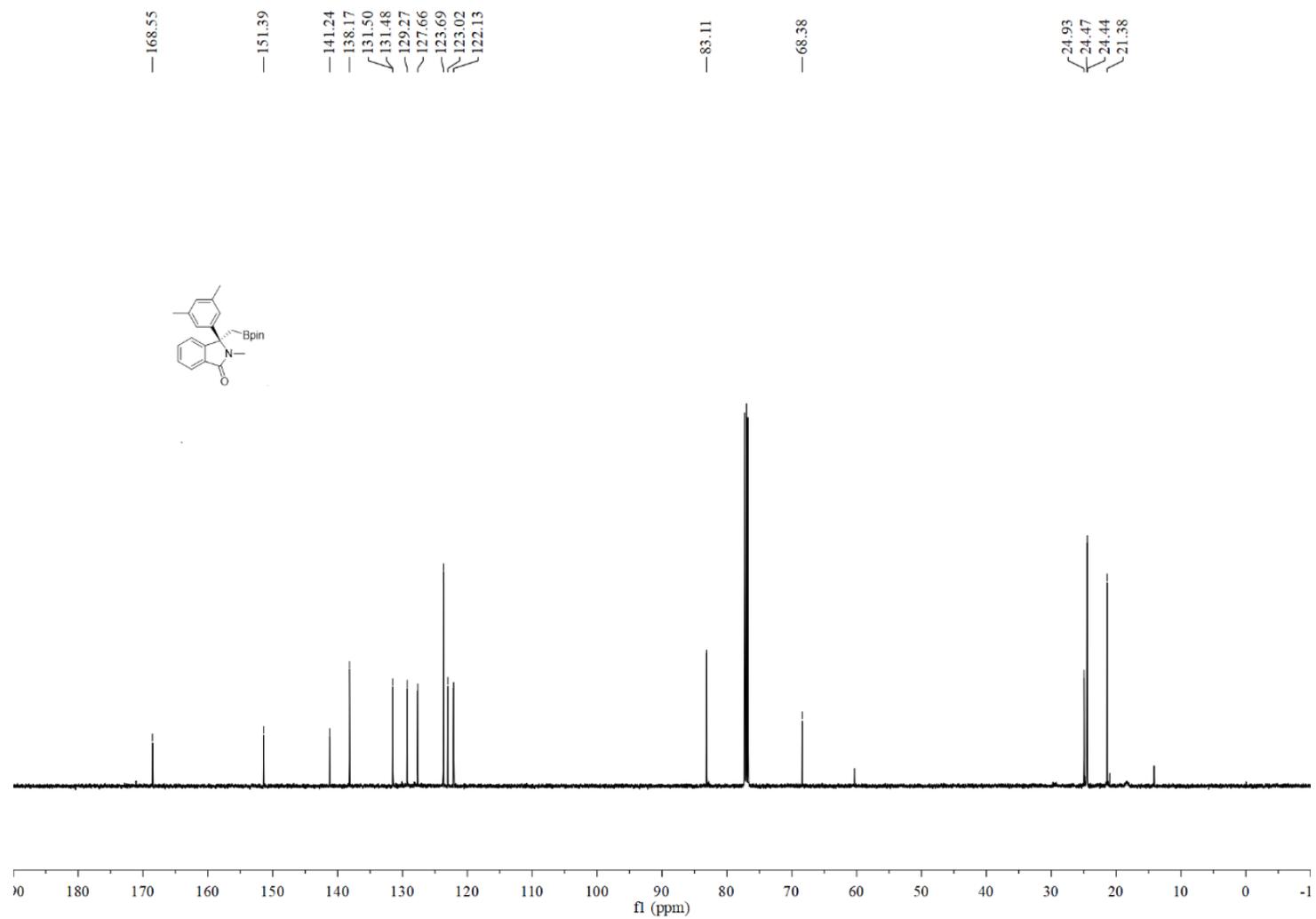


Figure S105. ^{13}C NMR spectrum of compound **2t** (125 MHz, CDCl_3)

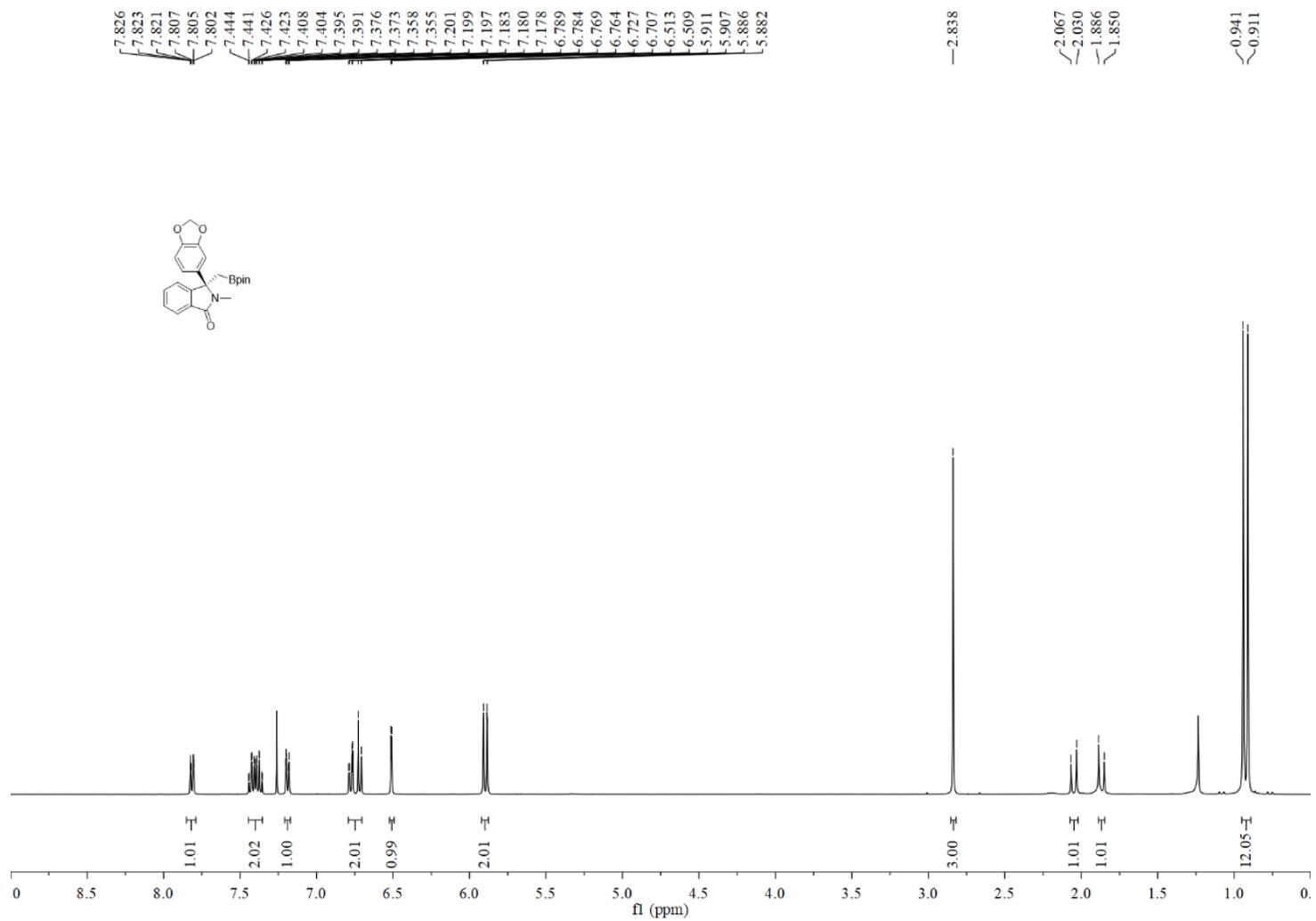


Figure S106. ^1H NMR spectrum of compound **2u** (400 MHz, CDCl_3)

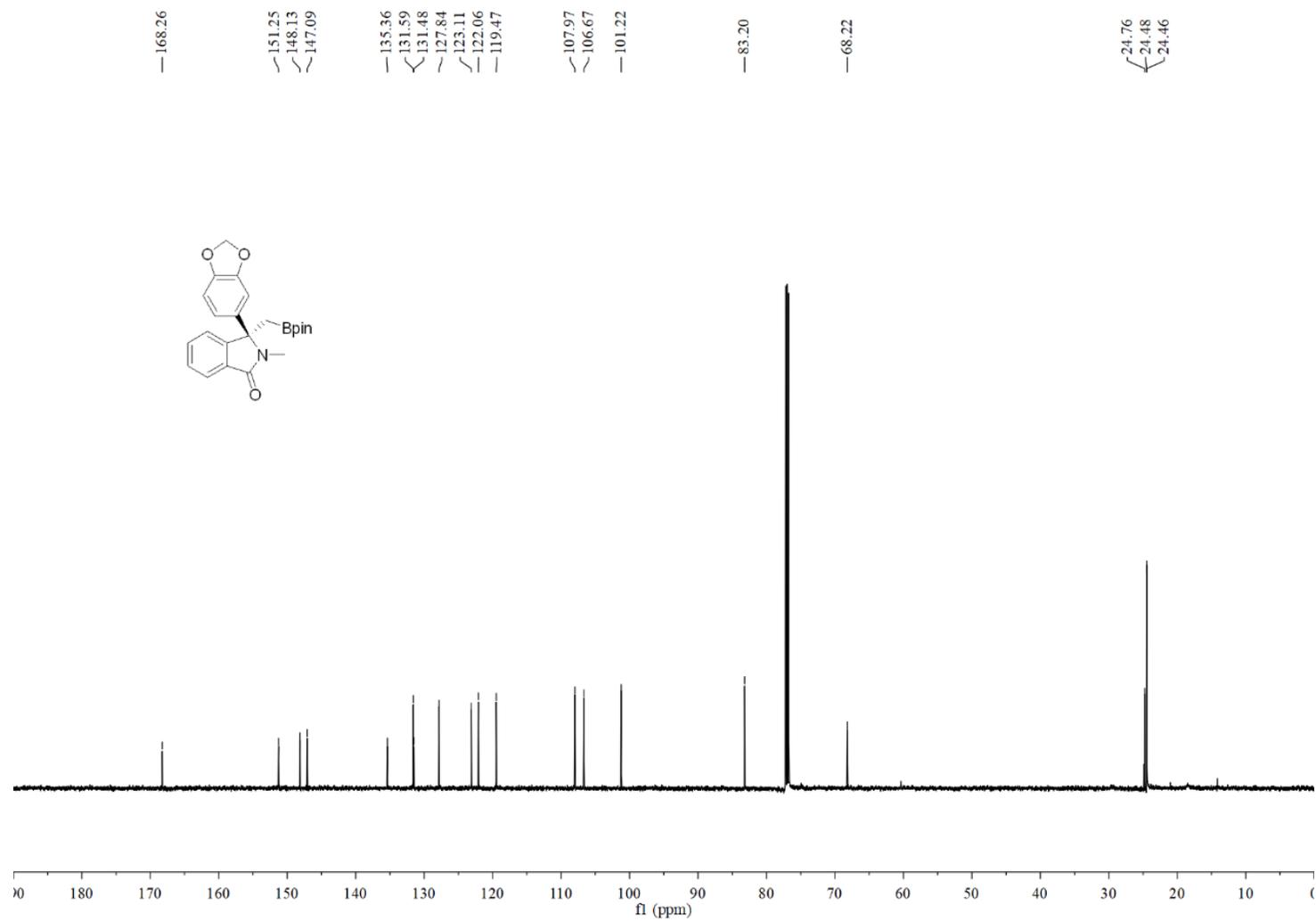


Figure S107. ^{13}C NMR spectrum of compound **2u** (150 MHz, CDCl_3)

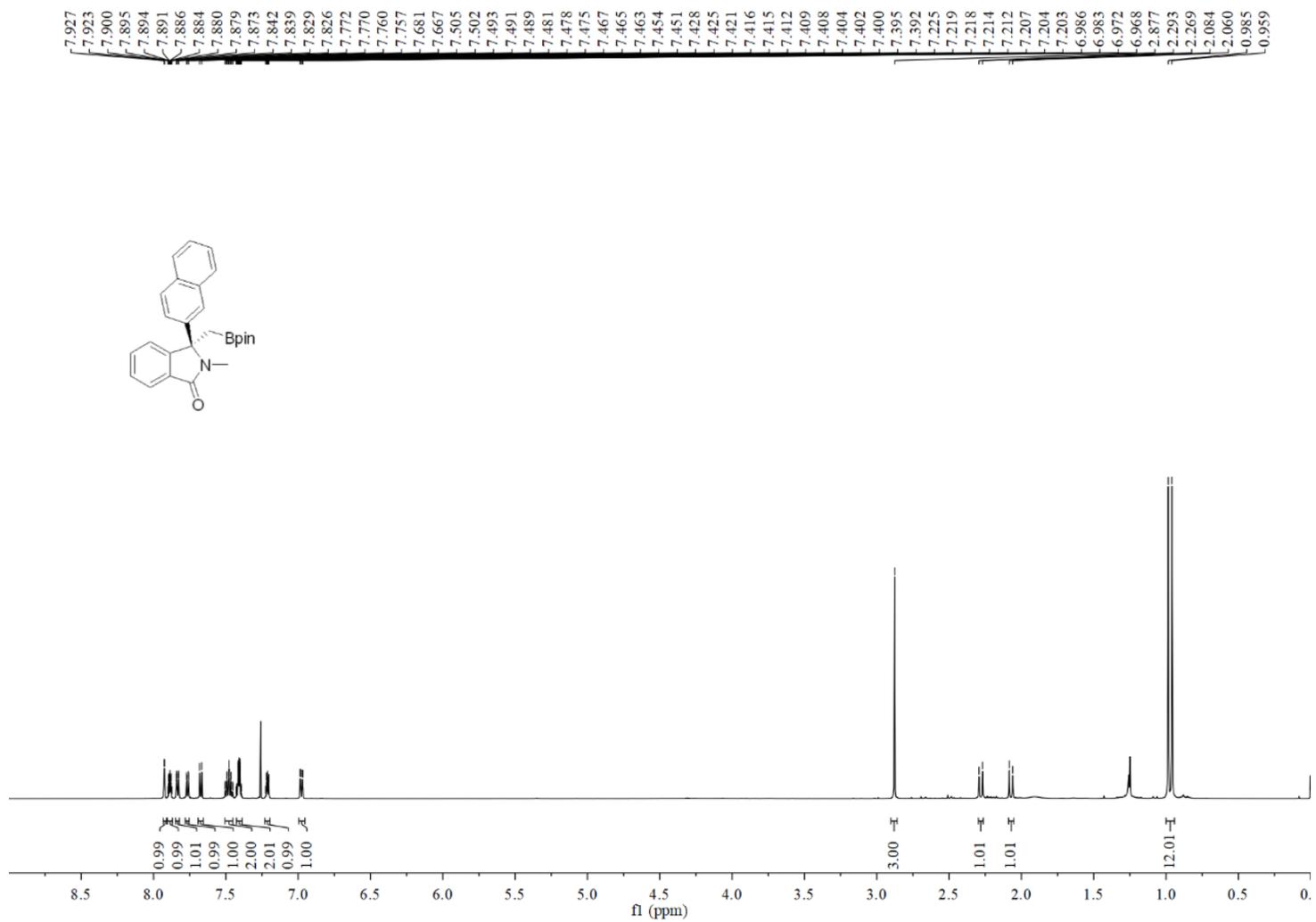


Figure S108. ^1H NMR spectrum of compound **2v** (600 MHz, CDCl_3)

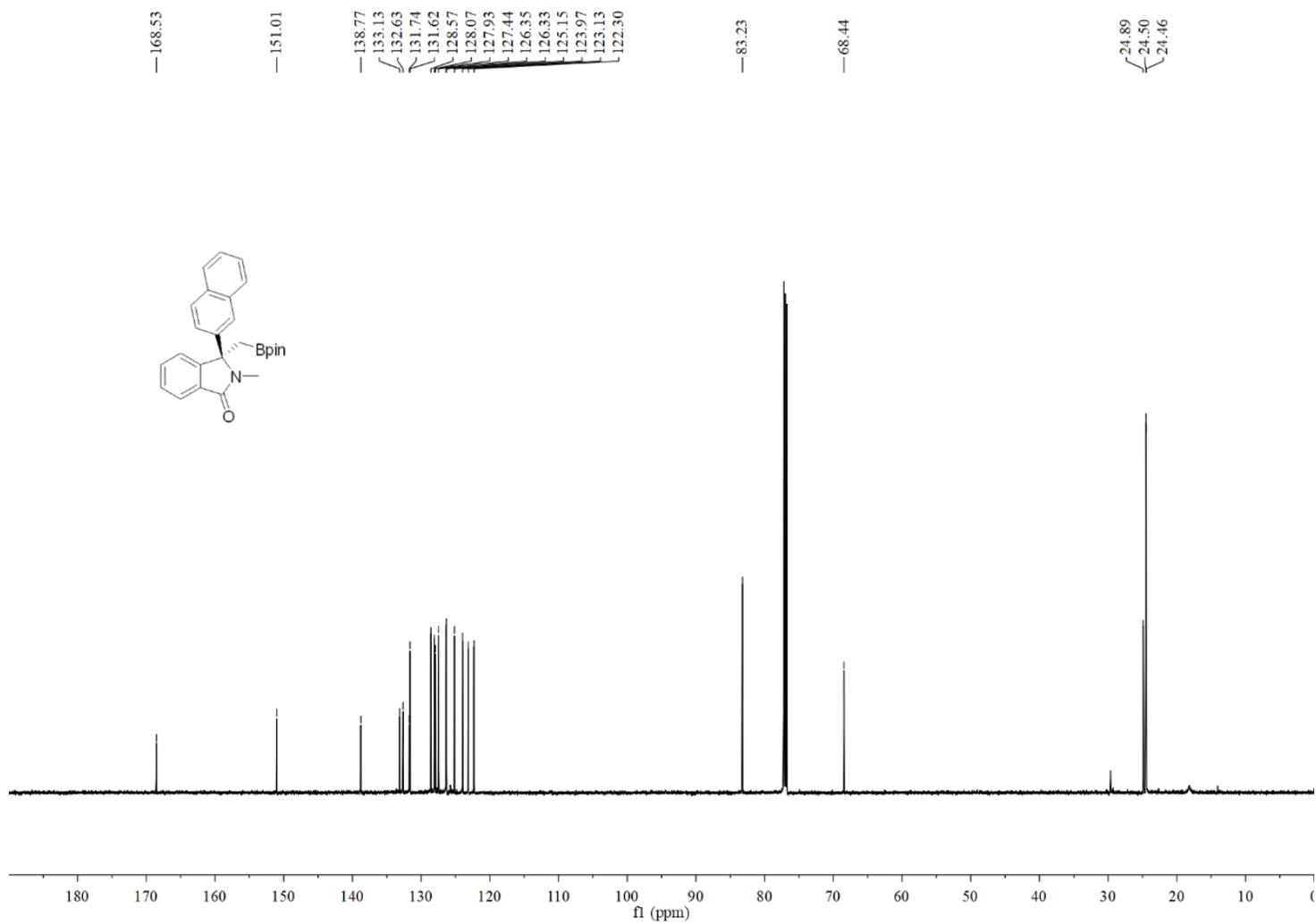


Figure S109. ^{13}C NMR spectrum of compound **2v** (150 MHz, CDCl_3)

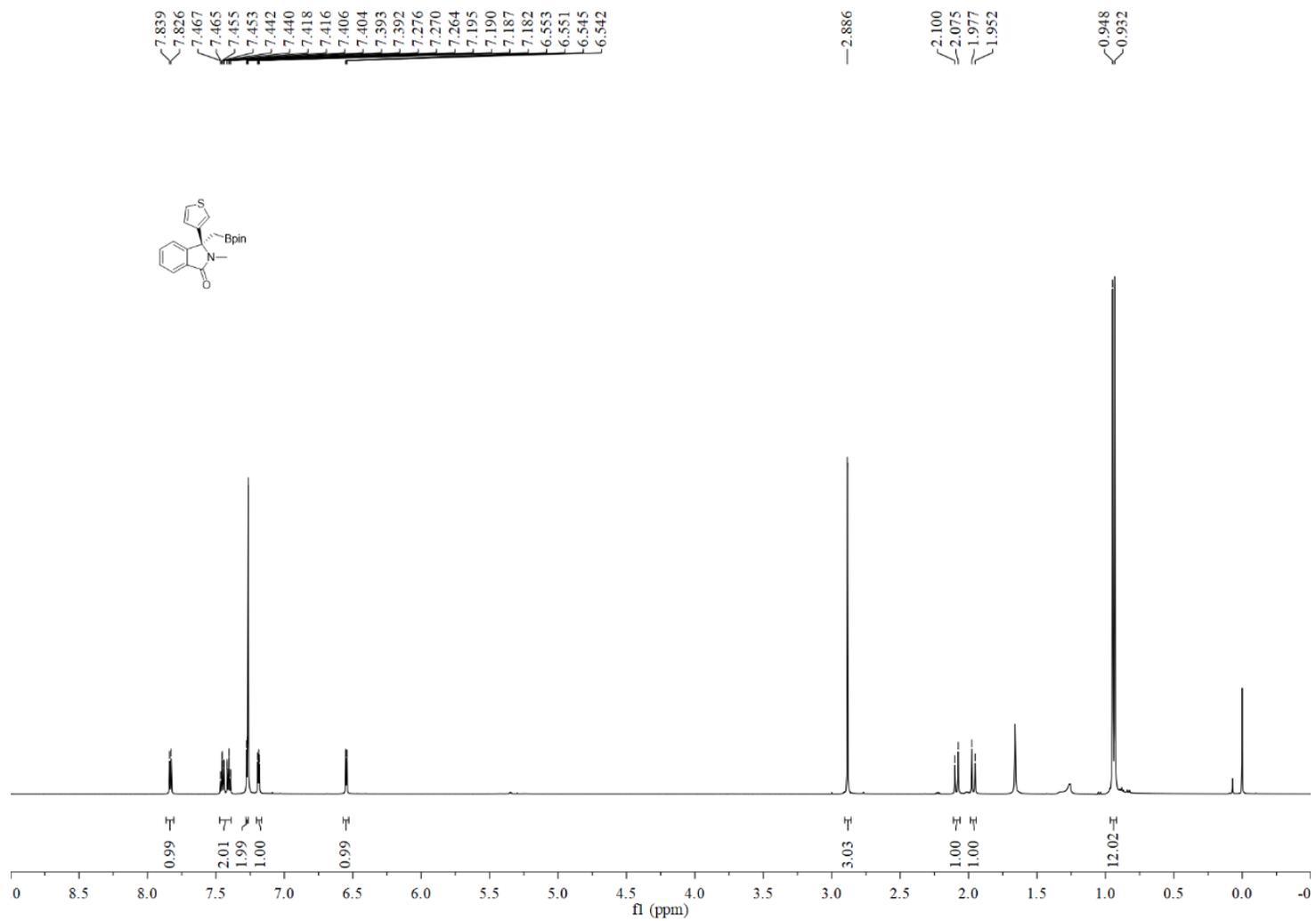


Figure S110. ^1H NMR spectrum of compound **2w** (600 MHz, CDCl_3)

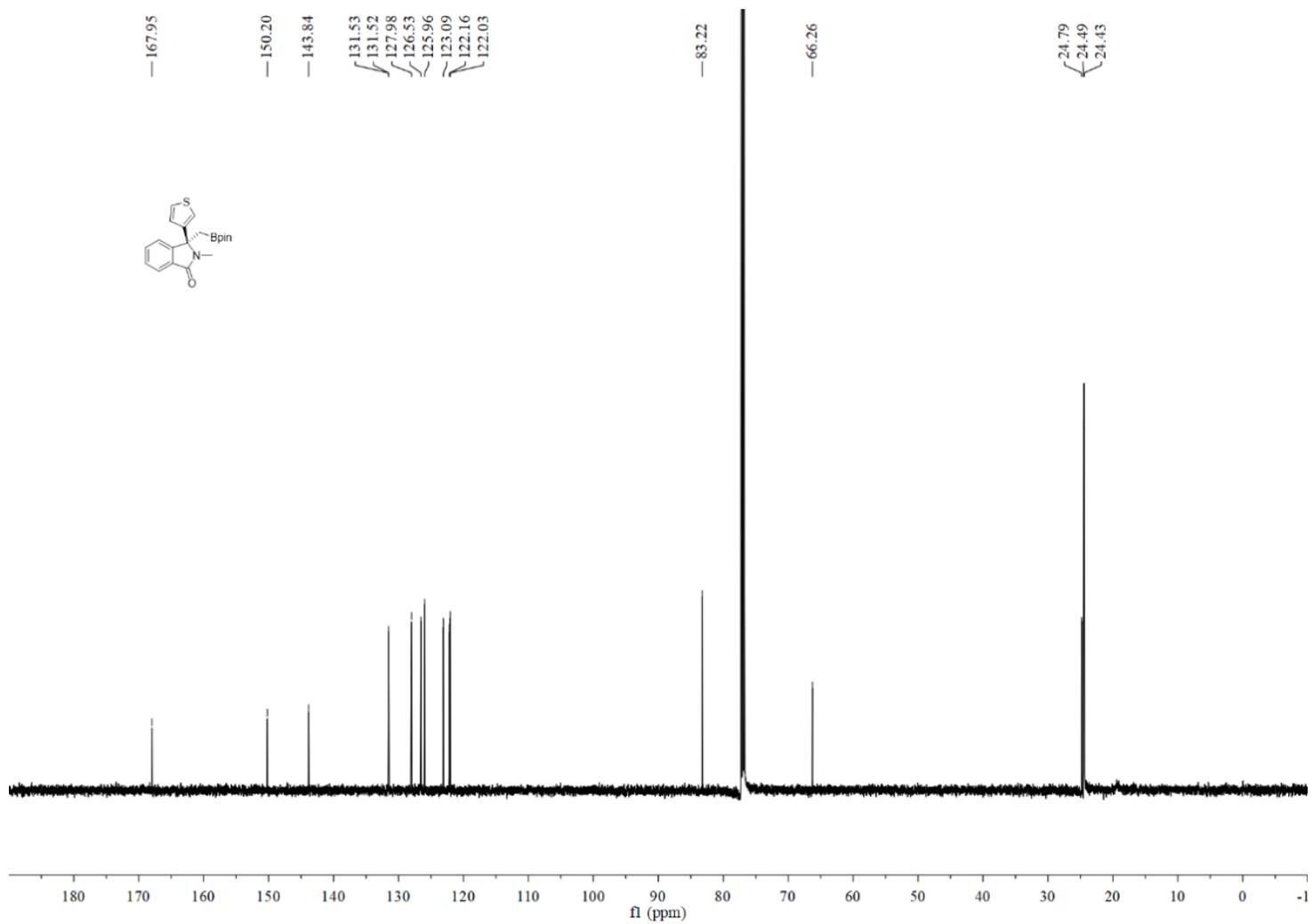


Figure S111. ^{13}C NMR spectrum of compound **2w** (150 MHz, CDCl_3)

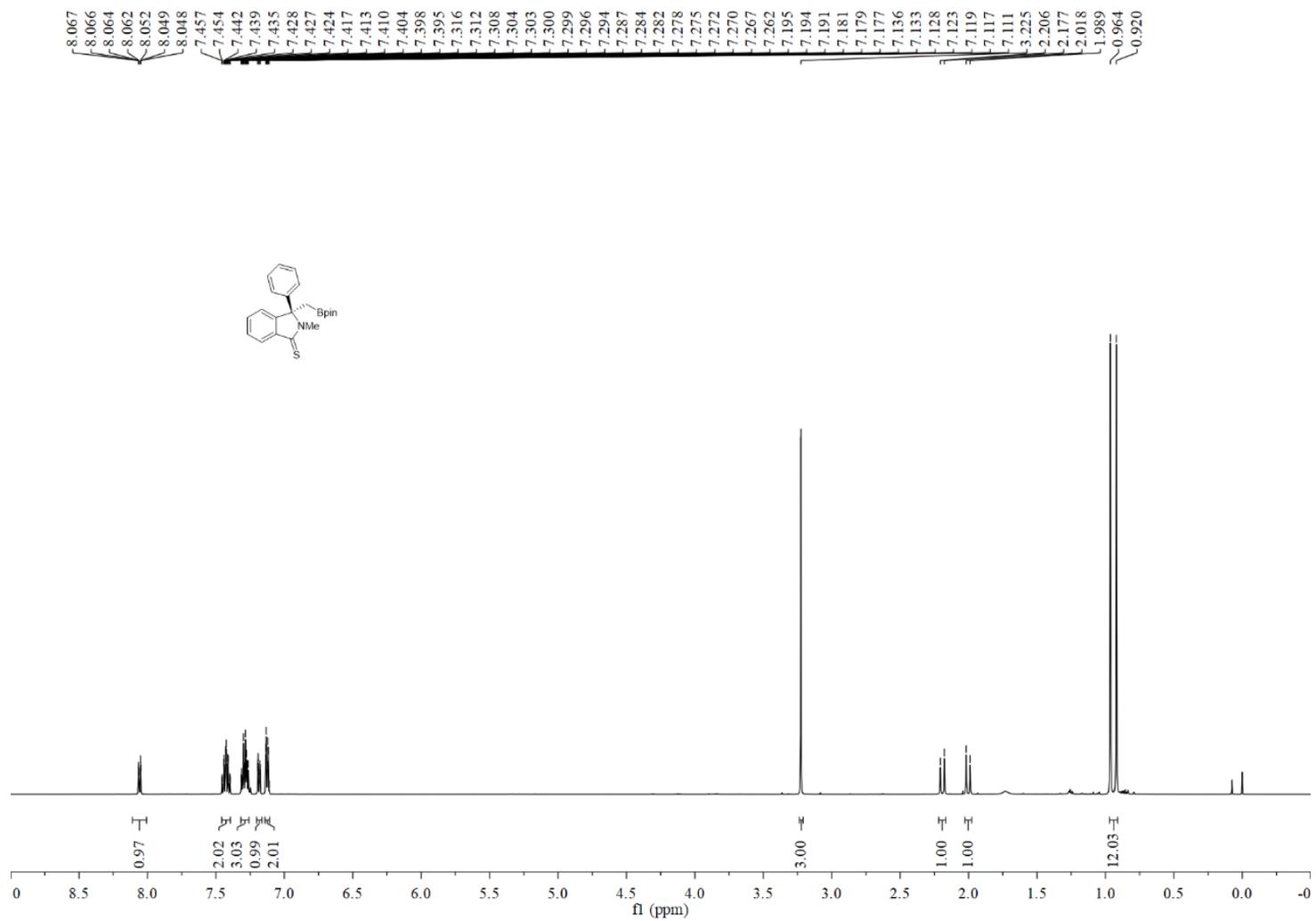


Figure S112. ^1H NMR spectrum of compound **3** (500 MHz, CDCl_3)

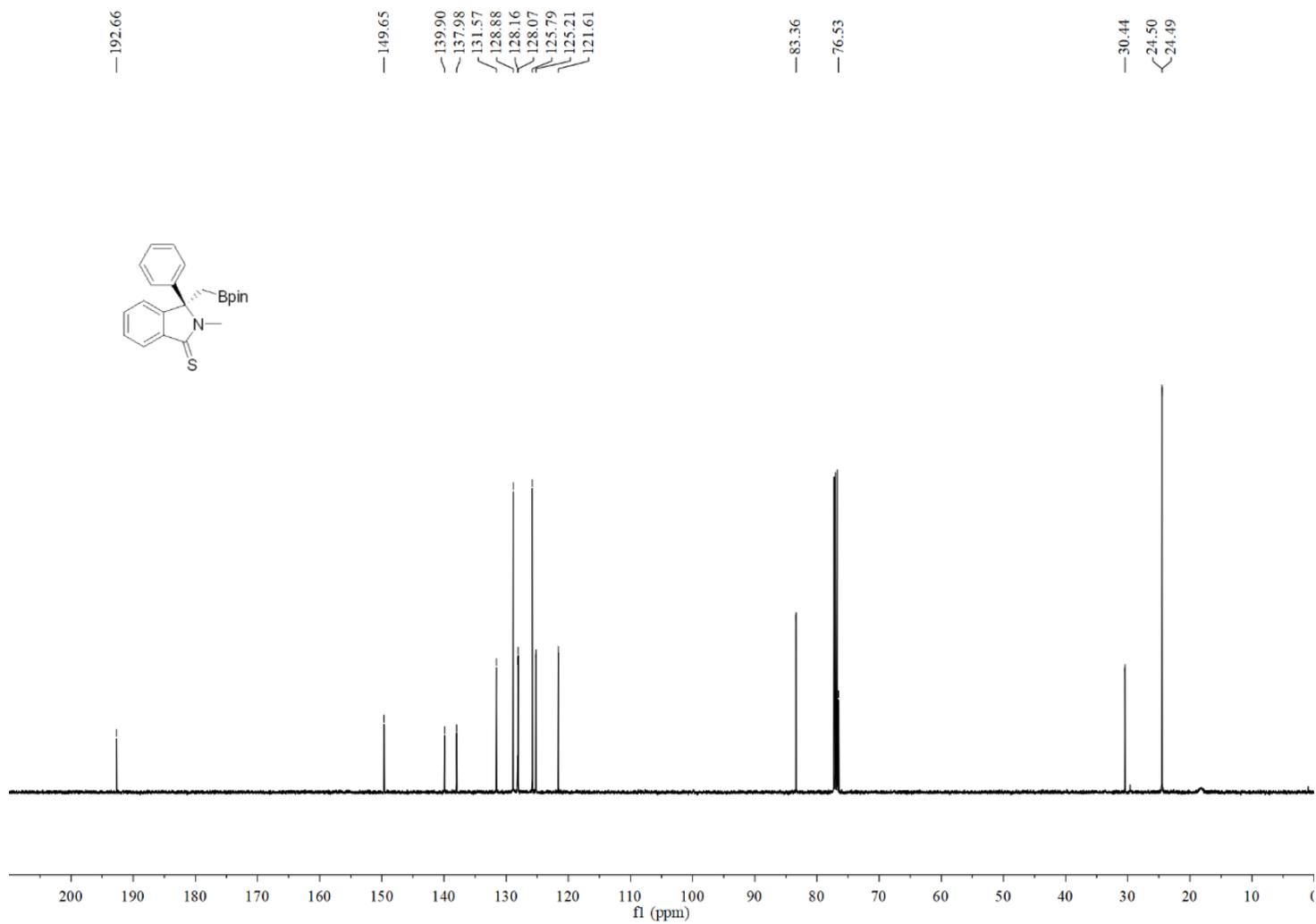


Figure S113. ¹³C NMR spectrum of compound **3** (125 MHz, CDCl₃)

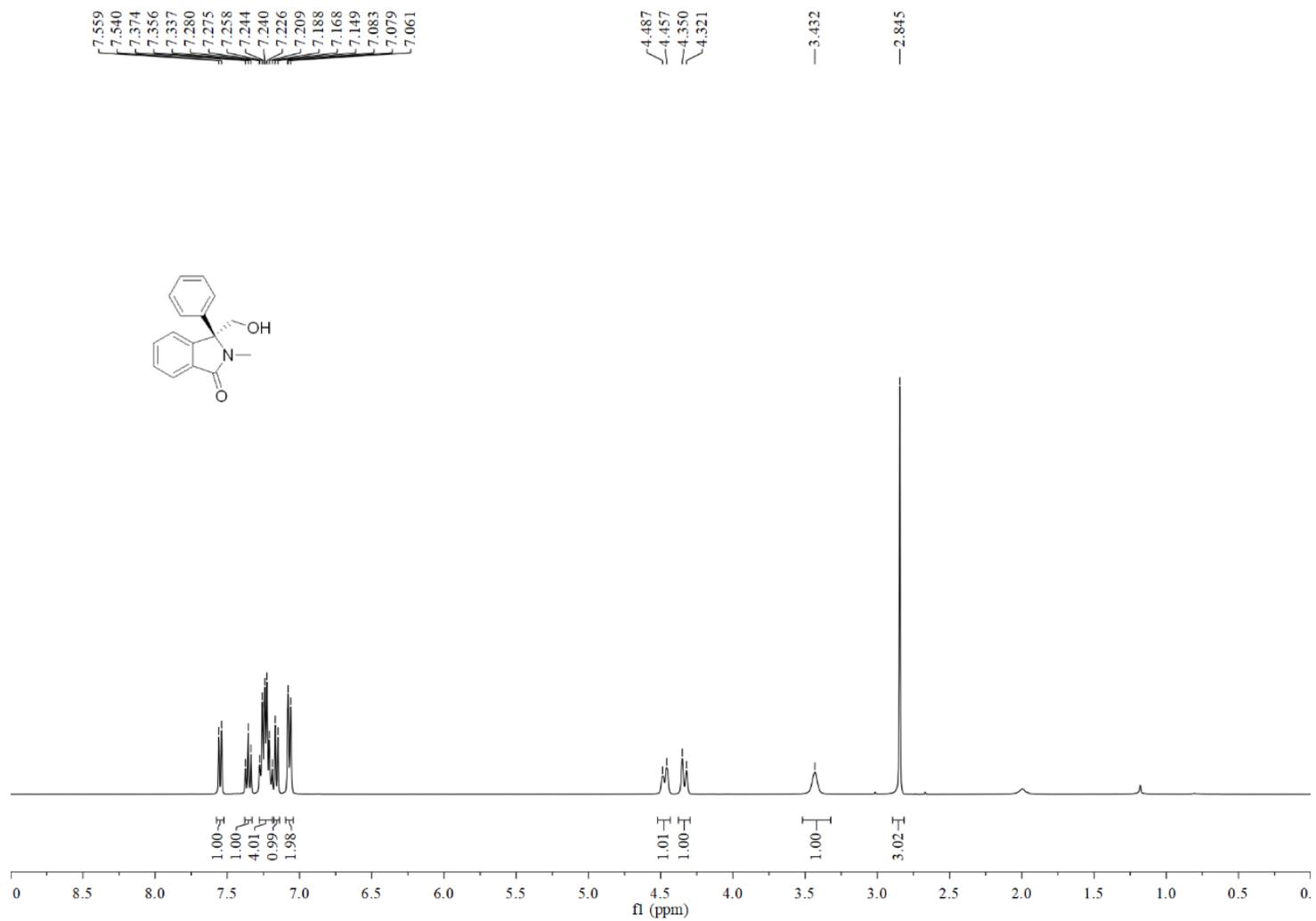


Figure S114. ^1H NMR spectrum of compound **4** (400 MHz, CDCl_3)

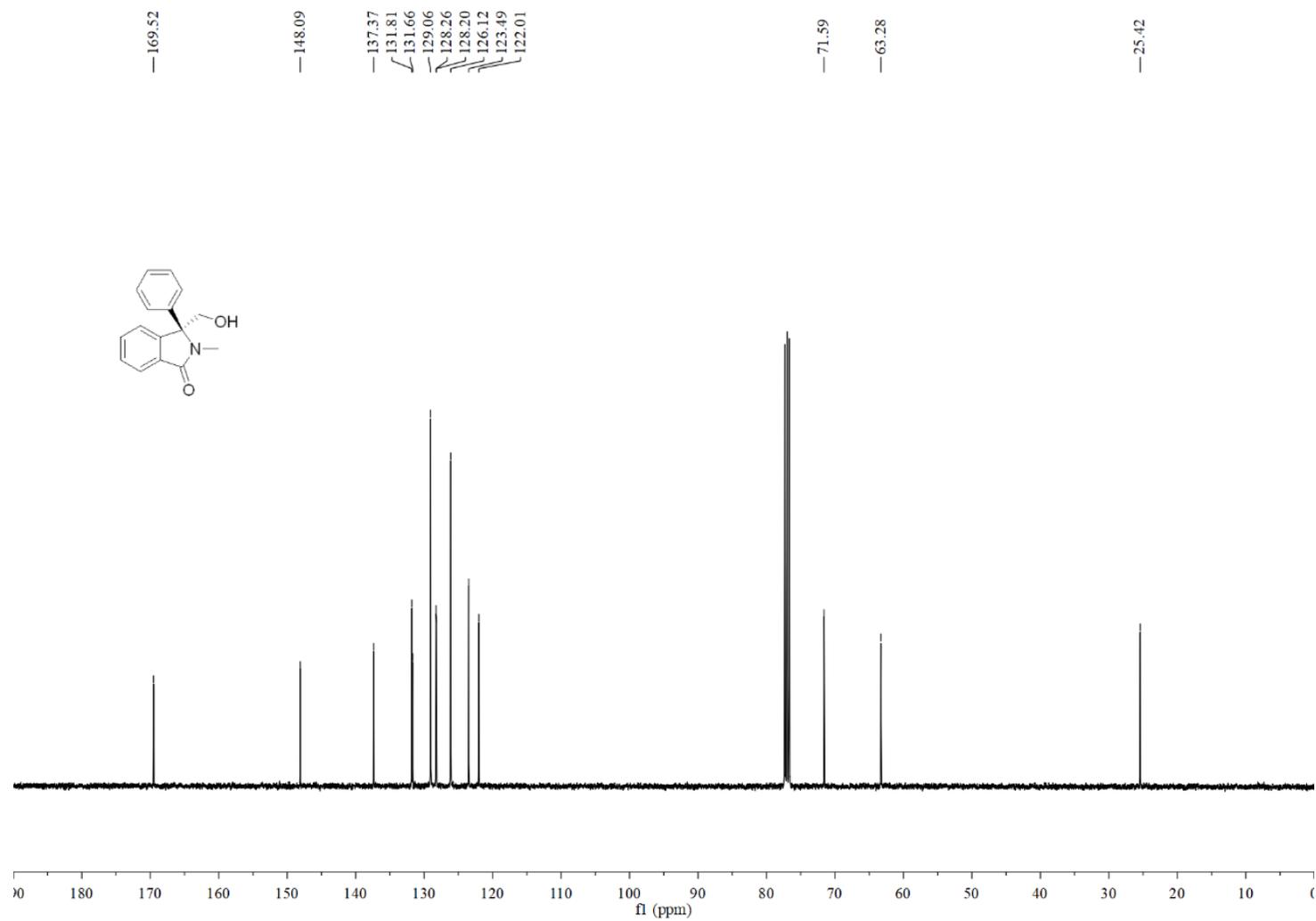


Figure S115. ¹³C NMR spectrum of compound **4** (100 MHz, CDCl₃)

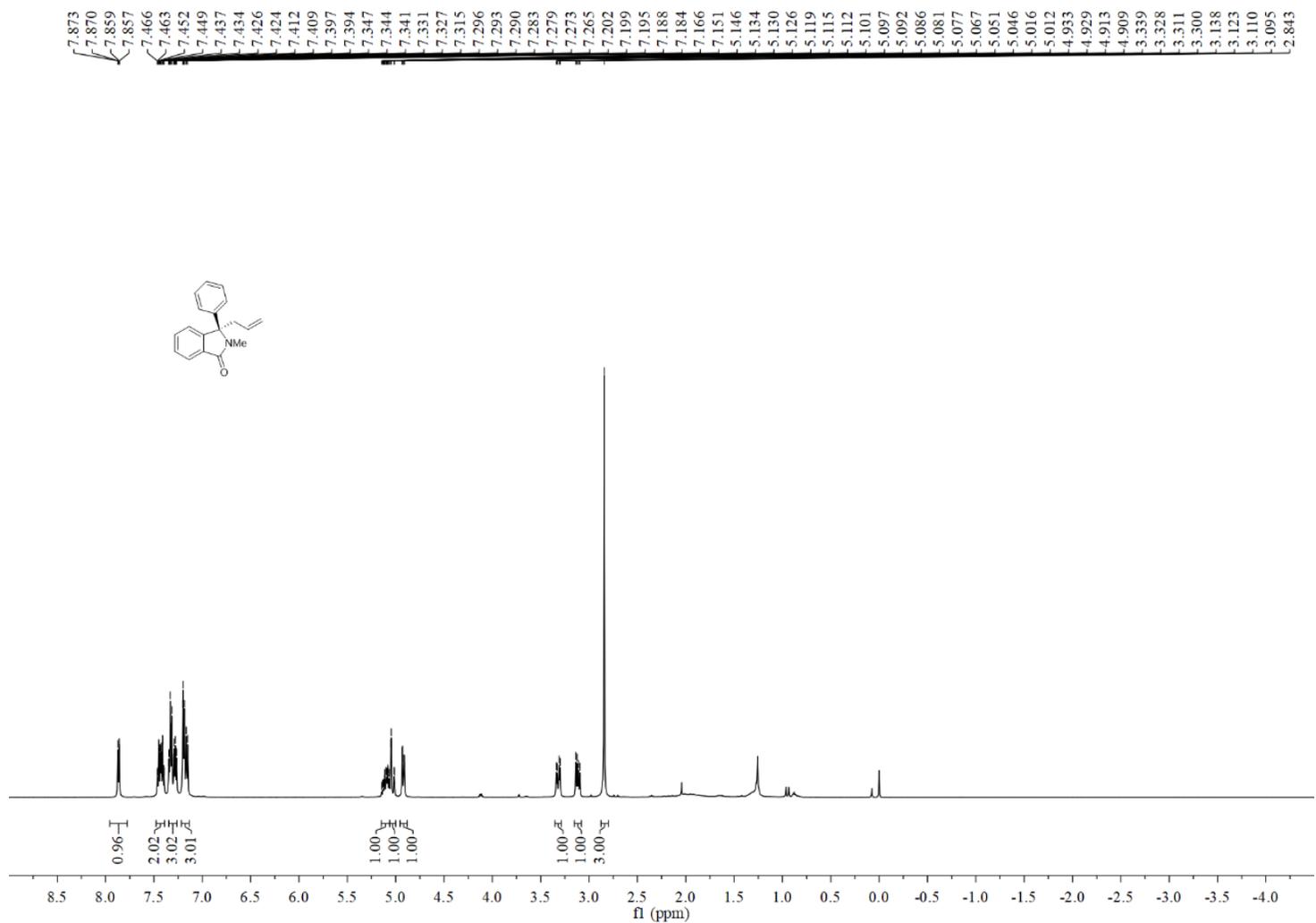


Figure S116. ¹H NMR spectrum of compound 5 (500 MHz, CDCl₃)

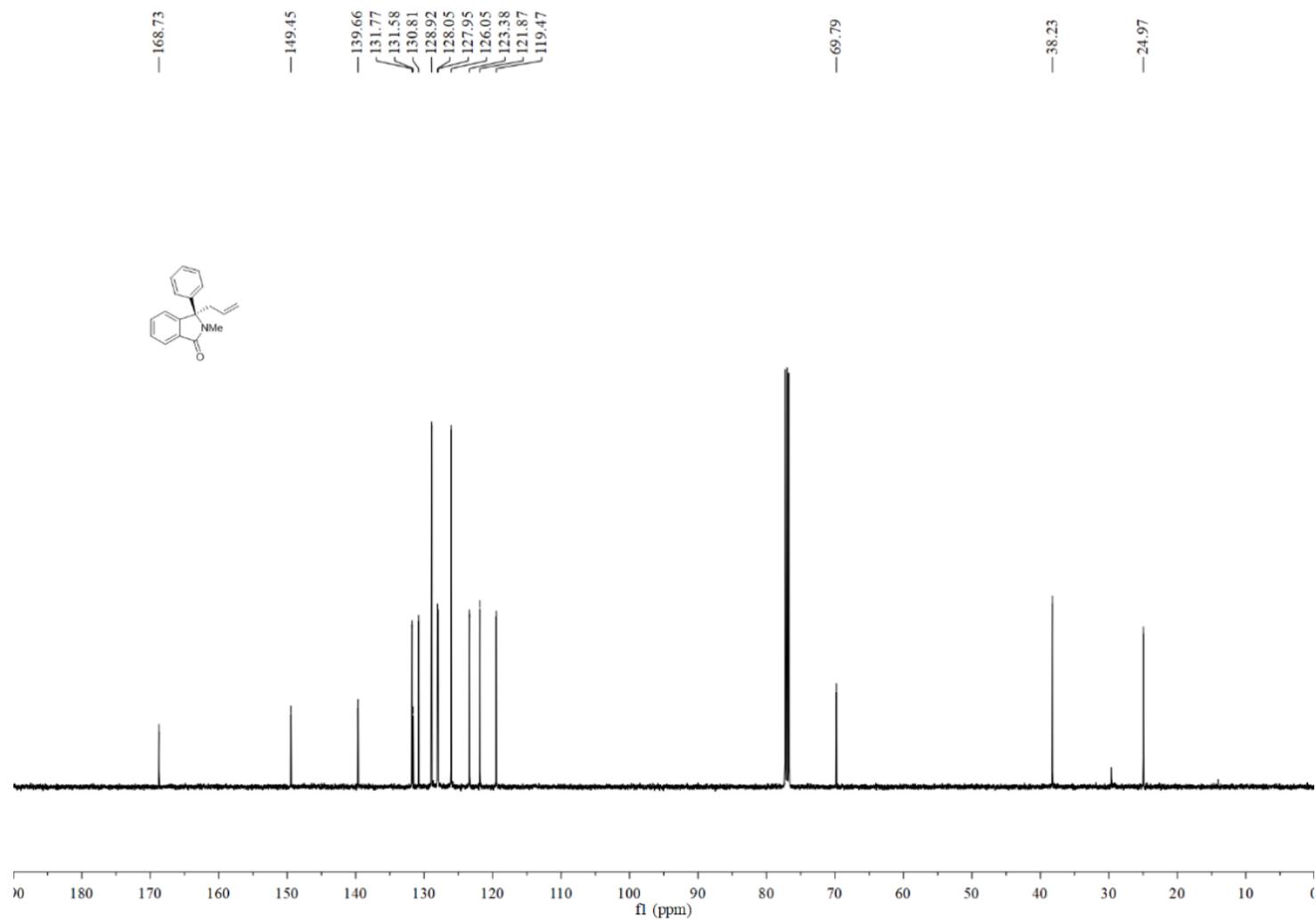


Figure S117. ^{13}C NMR spectrum of compound **5** (125 MHz, CDCl_3)