Electronic Supplementary Material (ESI) for ChemComm.

Supporting Information

Au-Allenylidene Promoted Decarboxylative Intramolecular *α*-Attacking Annulation to Access Unsaturated *γ*-Lactams/Lactones

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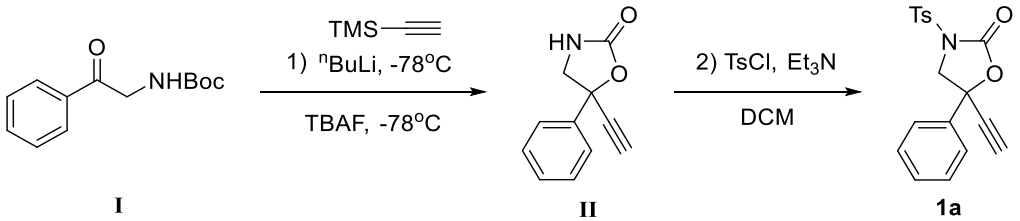
1. General remarks

Unless otherwise noted, all commercially available reagents and solvents were used without further additional purification. Thin layer chromatography was performed using precoated silica gel plates and visualized with UV light at 254 nm. Flash column chromatography was performed with silica gel (40-60μm). ^{1}H and ^{13}C nuclear magnetic resonance spectra (NMR) were obtained on Bruker Avance II 400 MHz, Bruker Avance III 500 MHz and Bruker Avance NEO 600M recorded in ppm (δ) downfield of TMS (δ=0) in $CDCl_3$ unless noted otherwise. Signal splitting patterns were described as singlet (s), doublet (d), triplet(t), quartet (q), quintet (quint), or multiplet (m), with coupling constants (*J*) in hertz (Hz). High resolution mass spectra (HRMS) were performed by an Agilent apparatus (TOF mass analyzer type) on an Electron Spray Injection (ESI) mass spectrometer. Melting points were determined by an XP-4 melting point apparatus.

2. General procedure for the preparation of substrates

Compounds **2** are commercially available.
The cyclic ethynylethylene carbamates **1** were prepared according to the literature.[S1]
The cyclic ethynylethylene carbonates **3** were prepared according to the literatures.[S2-S3]

3. Representative procedures for the synthesis of cyclic ethynylethylene carbamates



I **II** **1a**

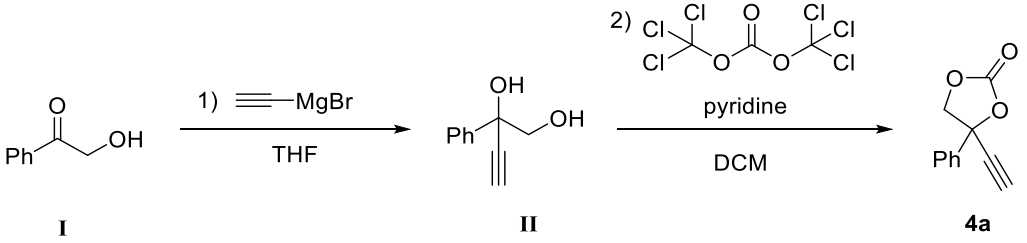
A typical procedure is described as following for the synthesis of **1a**:

1) Trimethylsilylacetylene (3.43 g, 35.0 mmol, 3.5 equiv) was dissolved into 50 mL THF and cooled to 0℃, then ^{n}BuLi (30.0 mmol, 2.5 M in Hexane, 12 mL, 3.0 equiv) was added and stirred at this temperature for 1 h under argon atmosphere. The mixture was then cooled to -78 ℃, and **I** (2.35 g, 10.0 mmol, 1.0 equiv) in 10 mL THF was added. The mixture was allowed to stir at this temperature for further 10 min before being warmed to room temperature and stirred overnight. A

saturated solution of NH_4Cl (20 mL) was added and the aqueous phase was extracted with EtOAc (3×20 mL). The combined extracts were dried by Na_2SO_4 and concentrated under reduced pressure. The crude product was used next step directly without further purification. The crude product was dissolved in THF (30 mL) and cooled to -78 ℃. TBAF (10 mmol, 1.0 M in THF, 10 mL, 1.0 equiv) was added and stirred for 1 h at this temperature before being quenched with a saturated solution of NH_4Cl (10 mL). The mixture was extracted with EtOAc (3×20 mL), and the organic mixture was dried by Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash column chromatography (PE/EtOAc = 1:1) to give the product **II** (1.40 g, 75% yield) as a brown solid.

2) **II** (374 mg, 2.0 mmol, 1.0 equiv) and Et_3N (405 mg, 4.0 mmol, 2.0 equiv) were dissolved in DCM (5 mL). The mixture was then cooled to 0℃, and TsCl (570 mg, 3.0 mmol, 1.5 equiv) was added dropwise. The mixture was warmed to room temperature and stirred for 8 h before quenched with saturated solution of NH_4Cl (5 mL). The mixture was extracted with DCM (3×10 mL). The organic mixture was dried by Na_2SO_4 and concentrated under reduced pressure. The residue was purified by flash column chromatography (PE/EtOAc = 2:1) to give the cyclic ethynylethylene carbamates **1a** (545 mg, 80% yield) as a brown solid.

4. Representative procedures for the synthesis of cyclic ethynylethylene carbonates



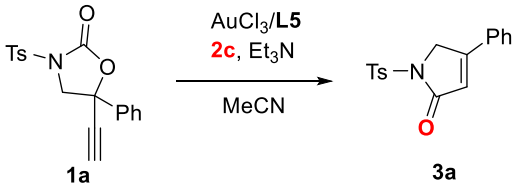
I **II** **4a**

A typical procedure is described as following for the synthesis of **4a**:

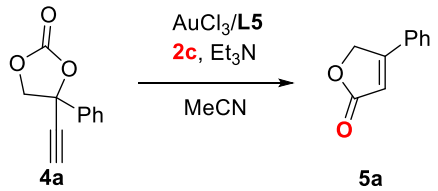
1) To the solution of I (272 mg, 2.0 mmol, 1.0 equiv) in THF (5 mL) was dropped ethynylmagnesium bromide (6.0 mmol, 0.5 M in THF, 12 mL, 3.0 equiv) at 0 ℃. The mixture was then stirred for 12 h at room temperature. After quenched with saturated solution of NH_4Cl (10 mL). The mixture was extracted with EtOAc (3x20 mL). The organic mixture was dried by Na_2SO_4 and concentrated under reduced pressure to give the crude product **II**.
2) The crude product **II** and pyridine (632 mg, 8.0 mmol, 4.0 equiv) were dissolved into 8 mL DCM and cooled to 0 ℃, then triphosgene (293 mg, 1.0 mmol in 1 mL DCM, 0.5 equiv) was added. The mixture was stirred at room temperature for 6 h, and then concentrated under reduced pressure. The residue was purified by flash column chromatography (PE/EtOAc = 2:1) to afford the cyclic ethynylethylene

carbonate **4a** (263 mg, 70% yield) as yellow oil.

5. Representative procedures for the synthesis unsaturated *γ*-lactams/lactones

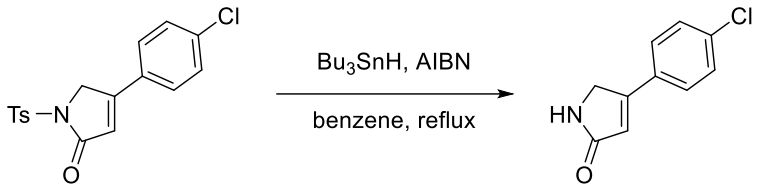


A typical procedure is described as following for the synthesis of **3a**:
$AuCl_3$ (2.3 mg, 7.5 mol%) and **L5** (10.0 mg, 15 mol%) were added to a vial containing MeCN (1 mL) to stir 10 min under N_2 atmosphere. Cyclic ethynylethylene carbonate **4a** (34.1 mg, 0.10 mmol, 1.0 equiv), 4-(methoxy)pyridine-*N*-oxide **2c** (18.8 mg, 0.15 mmol, 1.5 equiv) and Et_3N (47.0 mg, 0.2 mmol, 2.0 equiv) were added into the solution. The mixture was stirred for 6 h at 70 ℃ (heating mantle). The solvent was removed under reduced pressure. The residue was purified by flash column chromatography (PE/EtOAc = 3:1) to afford the unsaturated *γ*-lactam **3a** (38 mg, 78% yield) as brown solid.



A typical procedure is described as following for the synthesis of **5a**:
$AuCl_3$ (4.6 mg, 7.5 mol%) and **L5** (20.0 mg, 15 mol%) were added to a vial containing MeCN (2 mL) to stir 10 min under N_2 atmosphere. Cyclic ethynylethylene carbamate **1a** (34.1 mg, 0.20 mmol, 1.0 equiv), 4-(methoxy)pyridine-*N*-oxide **2c** (37.6 mg, 0.30 mmol, 1.5 equiv) and Et_3N (94.0 mg, 0.40 mmol, 2.0 equiv) were added into the solution. The mixture was stirred for 6 h at 70 ℃ (heating mantle). The solvent was removed under reduced pressure. The residue was then purified by flash column chromatography (PE/EtOAc = 3:1) to afford the unsaturated *γ*-lactone **5a** (22.4 mg, 70% yield) as brown solid.

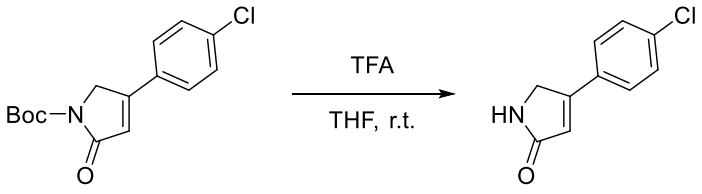
6. The procedure for the synthesis of *N*-H unsaturated *γ*-lactam 6 from 3o



3o **6**

The solution of **3o** (34.7 mg, 0.10 mmol, 1.0 equiv) in dry benzene (3 mL) was heated to reflux, Bu_3SnH (64 mg, 0.22 mmol, 2.2 equiv) and AIBN (3.5 mg, 20 mol%) in dry benzene (3 mL) were added as a solution. The reaction was stirred at 95 ℃ until TLC analysis showed that **3o** was completely consumed. Then the solvent was removed under reduced pressure. Diethyl ether (5 mL), saturated KF (1.5 mL), and water (5 mL) were added, and the resulting mixture was stirred overnight. The water layer was extracted with DCM. The combined organic layer was dried by Na_2SO_4, and concentrated under reduced pressure. The residue then purified by flash column chromatography (PE/EtOAc = 2:1) to give product **6** (16.4 mg, 85% yield) as brown solid.

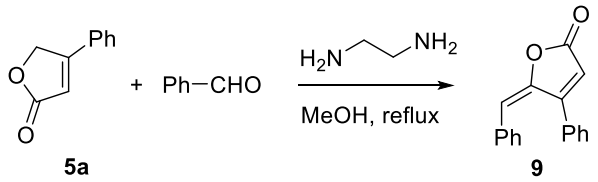
7. The procedure for the synthesis of *N*-H unsaturated *γ*-lactam 6 from 3ac



3ac **6**

Unsaturated *γ*-lactam **3ac** (29.3 mg, 0.1 mmol, 1.0 equiv) was added to a vial containing DCM (2 mL). Then TFA (66 μL, 0.4 mmol, 4.0 equiv) was added. The vial was closed and the mixture was stirred for 1 h at room temperature. After removing the solvent under reduced pressure, the residue was purified with flash column chromatography (PE/EtOAc = 2:1) to give the product **6** (18.7 mg, 97% yield) as brown solid.

8. The procedure for the synthesis of rubrolide derivative



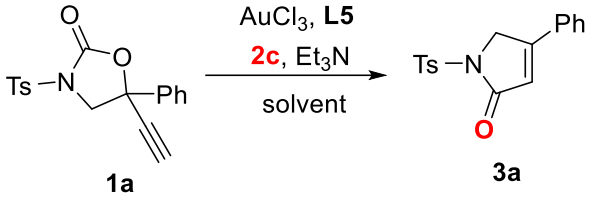
5a **9**

Unsaturated γ-lactone **5a** (16.0 mg, 0.1 mmol, 1.0 equiv), benzaldehyde (12.7 mg, 0.12 mmol, 1.2 equiv), and ethylenediamine (1.2 mg, 20 mol%) were added to a vial containing MeOH (0.2 mL). the solution was heated to reflux and stirred for 6 h. The solvent was removed under reduced pressure, the residue was purified with flash column chromatography (PE/EtOAc = 8:1) to give the product **9** (18.7 mg, 97% yield) as brown solid.

9. Other optimization reaction conditions

Other reaction conditions were subsequently investigated in Table S1. When DMSO and DMF were used as solvents instead of MeCN, only a trace product was observed (Table S1, entries 2-3). To our delight, this reaction could tolerate various small and moderately polar solvents to facilitate the annulation reaction (Table S1, entries 4-7). Surprisingly, protonic solvent MeOH could also be used as a solvent for such transformation to provide **3a** (Table S1, entry 8), indicating that the intramolecular α attacking process is very rapid. Overall, MeCN was chosen as the most suitable solvent to afford **3a**. If the reaction temperature was dropped to room temperature, no reaction occurred. (Table S1, entry 9). At relatively low temperatures of 60 ℃, the reaction slowed down(Table S1, entry 10). In addition, no obvious improvement of yield for **3a** was observed for the transformation when the reaction temperature was increased to 90 ℃, (Table S1, entry 11). Neither increasing nor decreasing the reaction time could further increase the yield(Table S1, entries 12-13).

Table S1. Other optimization reaction conditions[a,b]



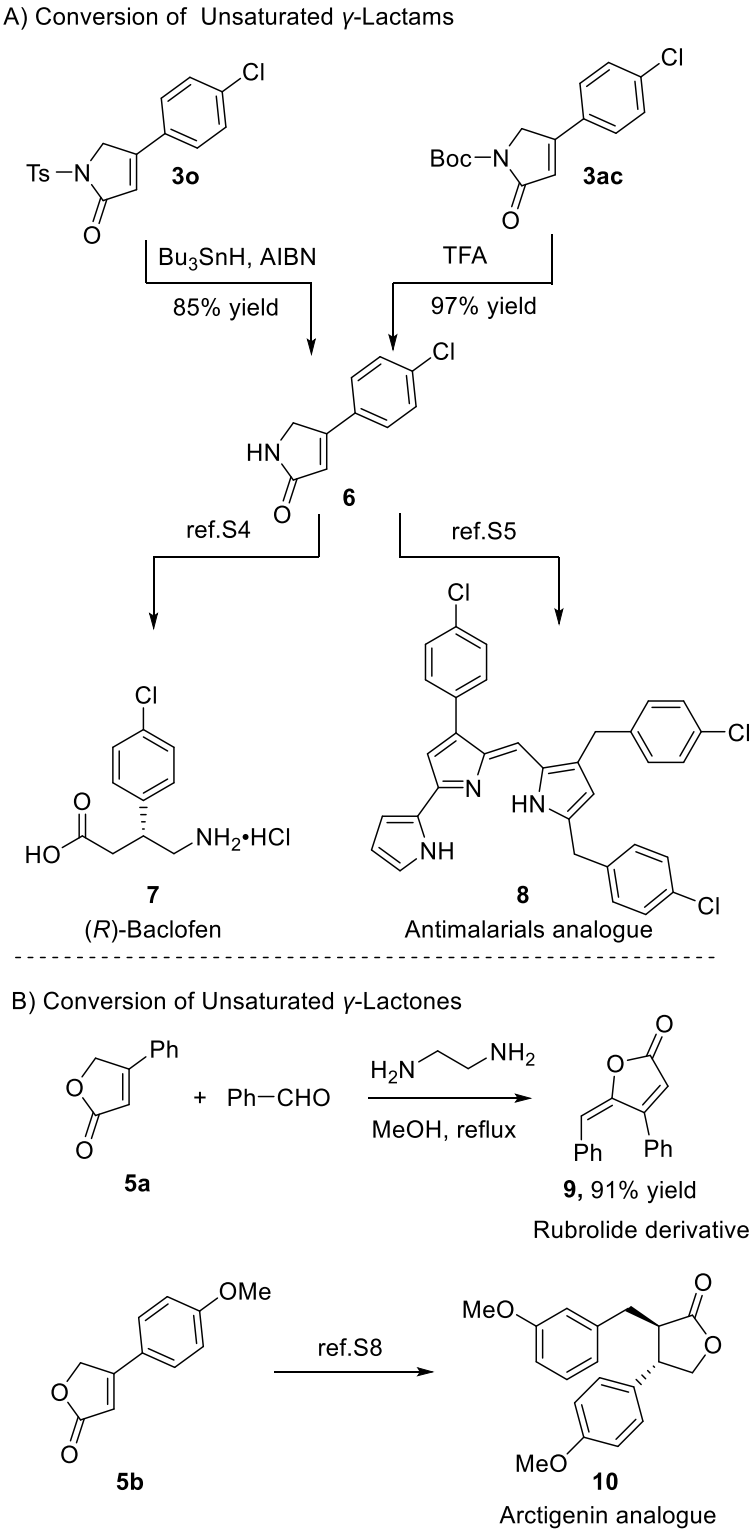
Entry	Solvent	Temp.(℃)	Yield[%]
1	MeCN	70	78
2	DMSO	70	trace
3	DMF	70	trace
4	toluene	70	45
5	1,4-dioxane	70	48
6	DCE	70	52
7	acetone	70	64
8	EtOH	70	50
9	MeCN	r.t.	n.r.
10	MeCN	60	40
11	MeCN	90	70
12[c]	MeCN	70	48
13[d]	MeCN	70	78

[a]Conditions: **1a** (1.0 equiv), **2c** (1.5 equiv), Et_3N (2.0 equiv), $AuCl_3$ (7.5 mol%), **L5** (15 mol%), solvent (0.1 M), 70 ℃ for 4 h. [b]Isolated yield of **3a**. [c]2h. [d]8h

10.The applications of this method

Many *γ*-lactams and *γ*-lactones own excellent bioactivities, which are applied in medicinal chemistry and biological science. Subsequently, the applicability for the synthesis of drugs and natural product analogues from unsaturated *γ*-lactams/lactones was conducted in Scheme S1. It was very easy to deprotection **3o** or **3ac** by releasing Ts- or Boc- protecting group to form N-H unsaturated γ-lactam **6** in 85% and 97% yield, respectively (Scheme S1A). Unsaturated *γ*-lactam **6** could be efficiently transformed into the gamma-aminobutyric acid (GABA)-b receptor agonist (R)-Baclofen hydrochloride **7** according to literature reported.[S4] In addition, compound **6** could also be utilized as a precursor to synthesize antimalarial analogues **8**.[S5] Besides unsaturated *γ*-lactams **3**, unsaturated *γ*-lactones **5** could also be converted to diverse

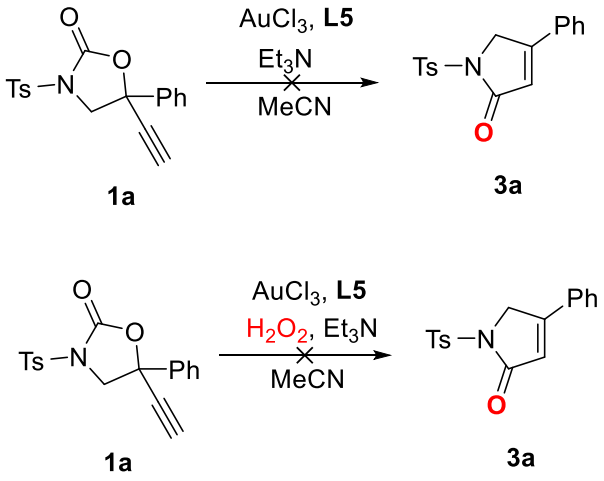
bioactive natural products (Scheme S1B). A highly efficient synthesis of Rubrolide derivative **9** in 91% yield within one step was achieved using unsaturated *γ*-lactones **5a** as substrate. The highly unsaturated *γ*-lactones **9** exhibited powerful antibacterial and anti-tumor activities.[S6-S7] Furthermore, the Arctigenin analogue **10** could be prepared from unsaturated *γ*-lactone **5b** by a two-step reaction.[S8] (Scheme S1).



Scheme S1. Conversion of unsaturated *γ*-lactams/lactones into drugs and natural Products

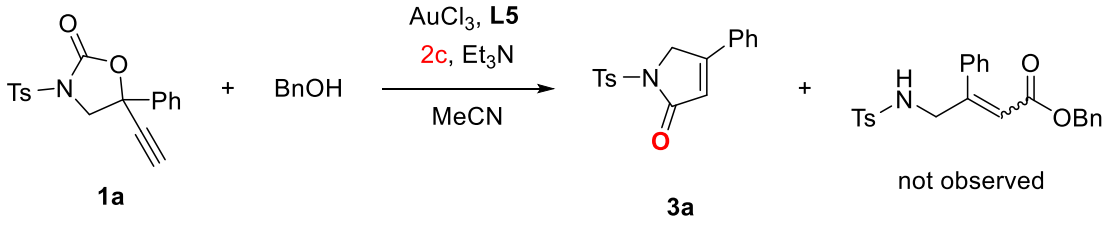
11.Mechanistic experiments

As shown in Scheme S2, cyclic ethynylethylene carbonate **1a** was used as substrate to achieve this transformation. In the absence of 4-(methoxy)pyridine-*N*-oxide **2c** or using H_2O_2 instead of **2c**, no desired product **3a** was observed.



Scheme S2. Control experiments

When benzyl alcohol was added as an additional nucleophilic reagent in the reaction, no *α,β*-unsaturated ester was observed, indicating that intramolecular nucleophilic attack is a very rapid process.



Scheme S3. Orthogonal reaction

4-Methoxypyridine **D'** obtained from the reduction of **2c** was trapped by HRMS in situ. (EI, *m/z* 110.0601) (calcd for $(M+H)^+$ $[C_6H_8NO]$ is 110.0600).

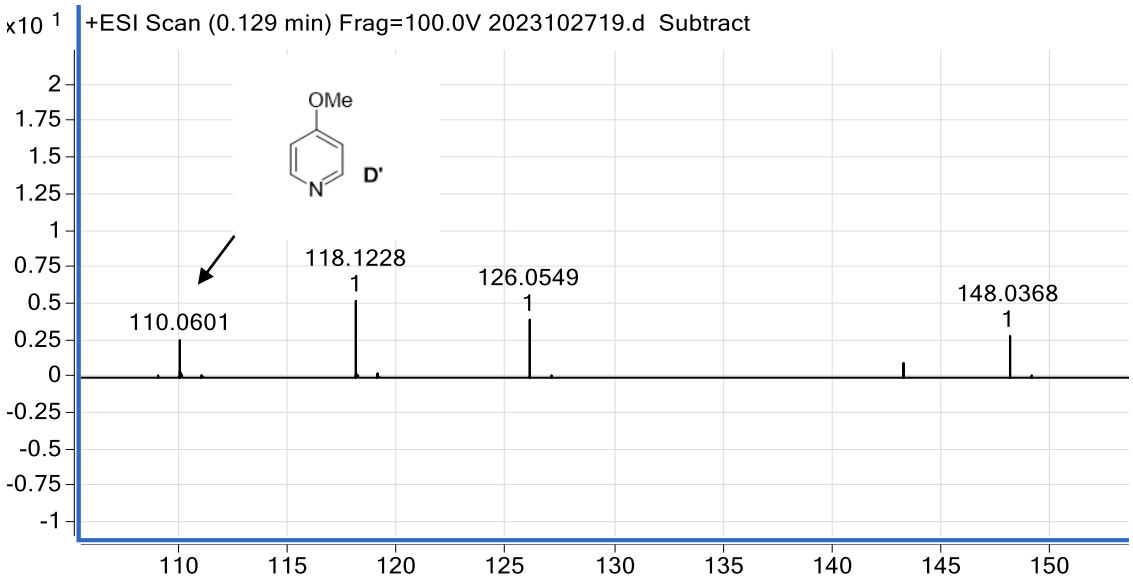
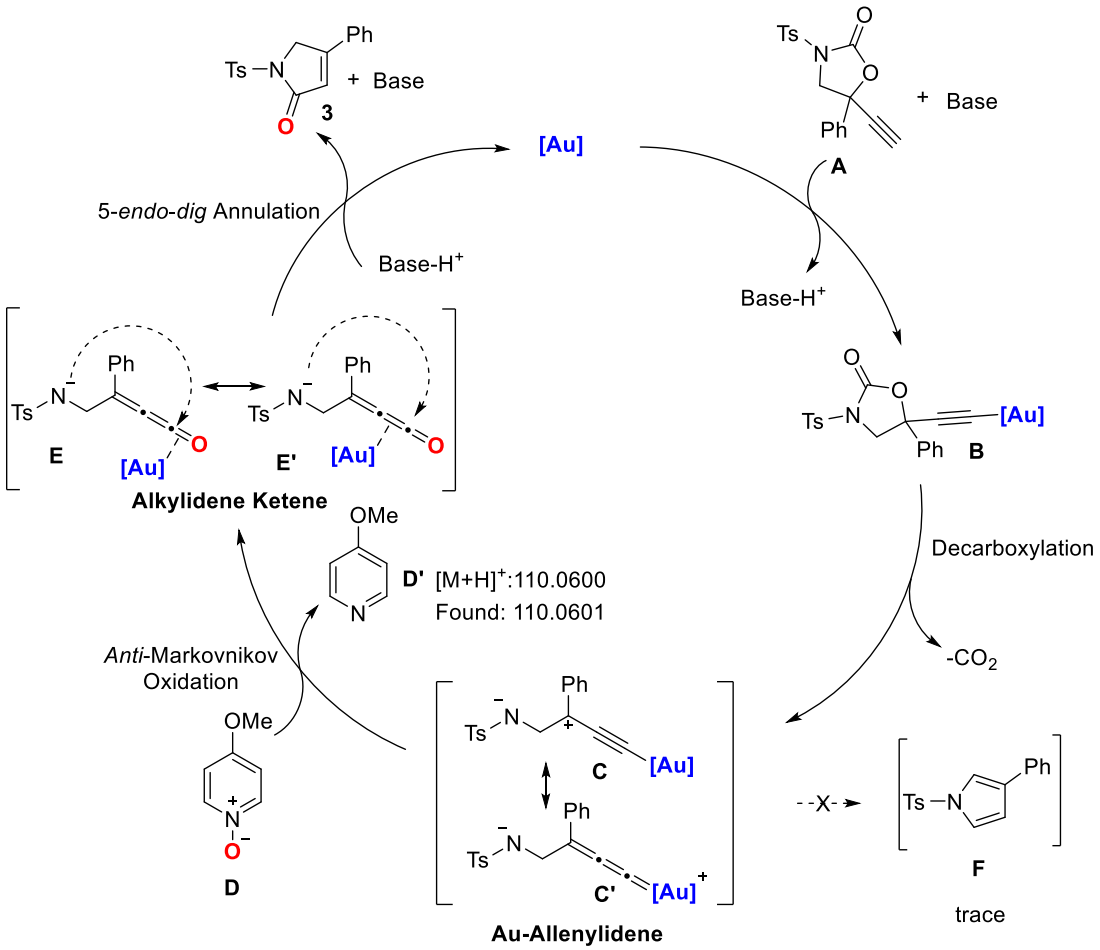


Figure S1. HRMS of D'

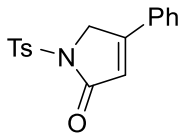
Proposed Mechanism:

A possible mechanism for Au-allenylidene promoted decarboxylative annulation was proposed in Scheme S4. The reaction initially starts by the formation of a gold π-complex with terminal alkyne **A**, which is deprotonated with base to afford a gold σ-complex (gold acetylide complex) **B**. Subsequent decarboxylative ring opening of **B** gives the cationic intermediate **C**, which further generates Au-allenylidene **C'** as a resonance structure. At the same time, the nucleophilic moiety is released within the same molecular structure. Subsequently, the Au-allenylidene complex **C'** is oxidized in an *anti*-Markovnikov oxidation manner by pyridine *N*-oxide D to form alkylidene ketene **E**. The pyridine **D'** is obtained from the reduction of pyridine *N*-oxide **D**, which is confirmed by HRMS (ESI, m/z 110.0601) (calcd for $(M+H)^+$ $[C_6H_8NO]$ is 110.0600) (Fig. S1). Significantly, due to the presence of sulfonyl or acyl groups, the nucleophilicity of nitrogen is greatly reduced, which severely blocks the intermolecular *α*-nucleophilic attack to allenylidene which usually results in the formation of allenes. In addition, the oxidation of Au-allenylidene **C'** to alkylidene ketene **E** is much faster than intramolecular *α*-nucleophilic attack to allenylidene. That's why only trace amount of pyrrole **F** as by-product is observed. Subsequently, alkylidene ketene **E** is rapidly trapped by the nucleophilic moiety by 5-*endo*-*dig* intramolecular annulation to obtain unsaturated *γ*-lactams/lactones products **3**.



Scheme S4. Proposed reaction mechanism.

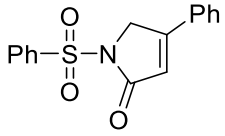
12. Characterization data of products



4-Phenyl-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3a)

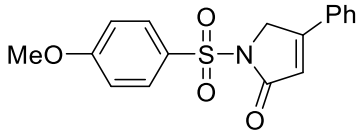
23.5 mg, 78% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, CDCl3) δ 8.02 (d, *J* = 8.1 Hz, 2H), 7.60 – 7.43 (m, 5H), 7.36 (d, *J* = 8.0 Hz, 2H), 6.33 (s, 1H), 4.86 (s, 2H), 2.45 (s, 3H).

Compound **3a** is known compound, and the proton spectrum is fully consistent with literature reported.[S9]



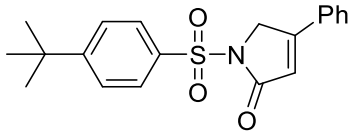
4-Phenyl-1-(phenylsulfonyl)-1,5-dihydro-2*H*-pyrrol-2-one (3b)

23.3 mg, 78% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 135-137°C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.18 – 8.11 (m, 2H), 7.71 – 7.63 (m, 1H), 7.59 (d, *J* = 7.6 Hz, 2H), 7.54 – 7.43 (m, 5H), 6.35 (s, 1H), 4.88 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.5, 157.8, 138.5, 134.0, 131.7, 130.5, 129.3, 129.2, 128.0, 126.2, 118.6, 51.8. HRMS (ESI-TOF) m/z calcd for $C_{16}H_{13}NO_3S$ $(M+H)^+$ 300.0689, found 300.0694.



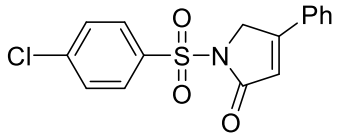
1-((4-Methoxyphenyl)sulfonyl)-4-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (3c)

47 mg, 84% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 146-148°C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (d, *J* = 9.0 Hz, 2H), 7.54 – 7.42 (m, 5H), 7.00 (d, *J* = 9.0 Hz, 2H), 6.31 (t, *J* = 1.6 Hz, 1H), 4.84 (d, *J* = 1.6 Hz, 2H), 3.87 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.6, 164.0, 157.7, 131.6, 130.5, 130.3, 129.9, 129.3, 126.2, 118.7, 114.4, 55.7, 51.8. HRMS (ESI-TOF) m/z calcd for $C_7H_{15}NO_4S$ $(M+H)^+$ 330.0795, found 330.0793.



1-((4-(Tert-butyl)phenyl)sulfonyl)-4-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (3d)

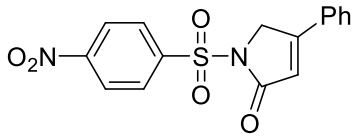
24.1 mg, 68% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 148-150°C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (d, *J* = 8.6 Hz, 2H), 7.56 (d, *J* = 1.7 Hz, 2H), 7.52 – 7.42 (m, 5H), 6.35 (t, *J* = 1.6 Hz, 1H), 4.85 (d, *J* = 1.6 Hz, 2H), 1.33 (s, 9H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.6, 158.0, 157.7, 135.4, 131.7, 130.5, 129.3, 127.8, 126.3, 126.2, 118.8, 51.8, 35.3, 31.0. HRMS (ESI-TOF) m/z calcd for $C_{20}H_{21}NO_3S$ $(M+H)^+$356.1315, found 356.1316.



1-((4-Chlorophenyl)sulfonyl)-4-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (3e)

23.3 mg, 70% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 138-140°C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09 (d, *J* = 8.4 Hz, 2H), 7.62 – 7.45 (m, 7H), 6.35 (s, 1H), 4.87 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.5, 158.1, 140.8,

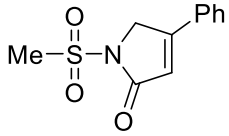
136.8, 131.8, 130.4, 129.5, 129.5, 129.4, 126.2, 118.5, 51.7. HRMS (ESI-TOF) m/z calcd for $C_{16}H_{12}ClNO_3S$ $(M+H)^+$ 334.0299, found 334.0300.



1-((4-Nitrophenyl)sulfonyl)-4-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (3f)

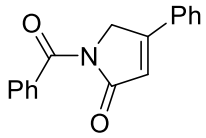
22.4 mg, 68% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 – 8.28 (m, 4H), 7.57 – 7.40 (m, 5H), 6.34 (s, 1H), 4.89 (s, 2H).

Compound **3f** is known compound, and the proton spectrum is fully consistent with literature reported.[S10]



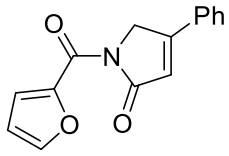
1-(Methylsulfonyl)-4-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (3g)

18.0 mg, 76% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 130-132℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 – 7.45 (m, 5H), 6.46 (s, 1H), 4.86 (s, 2H), 3.40 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.6, 158.5, 131.8, 130.4, 129.4, 126.3, 118.4, 51.1, 41.1. HRMS (ESI-TOF) m/z calcd for $C_{11}H_{11}NO_3S$ $(M+H)^+$ 238.0532, found 238.0533.



1-Benzoyl-4-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (3h)

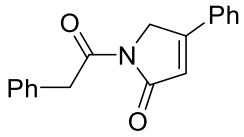
16.0 mg, 68% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 134-136℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 – 7.63 (m, 4H), 7.58 – 7.42 (m, 6H), 6.46 (s, 1H), 5.04 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.9, 156.8, 135.4, 131.5, 130.7, 129.3, 128.7, 128.6, 128.5, 128.4, 126.3, 119.4, 51.0. HRMS (ESI-TOF) m/z calcd for $C_{17}H_{13}NO_2$ $(M+H)^+$ 264.1019, found 264.1020.



1-(Furan-2-carbonyl)-4-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (3i)

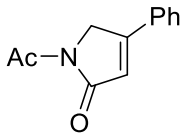
16.4 mg, 65% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 128-130℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (d, *J* = 3.7 Hz, 1H), 7.66 (d, *J* = 1.7 Hz, 1H), 7.65 – 7.60 (m, 2H), 7.52 – 7.48 (m, 3H), 6.59 – 6.57 (m, 1H), 6.46 (s, 1H),

5.00 (s, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.7, 157.8, 157.4, 146.7, 146.3, 131.6, 130.7, 129.3, 126.4, 120.8, 119.0, 111.9, 51.1. HRMS (ESI-TOF) m/z calcd for $C_{15}H_{11}NO_3$ $(M+H)^+$ 254.0812, found 254.0816.



4-Phenyl-1-(2-phenylacetyl)-1,5-dihydro-2*H*-pyrrol-2-one (3j)

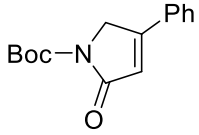
18.6 mg, 67% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 133-135℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.60 – 7.56 (m, 2H), 7.49 – 7.46 (m, 3H), 7.39 – 7.31 (m, 5H), 6.44 (t, *J* = 1.6 Hz, 1H), 4.79 (d, *J* = 1.5 Hz, 2H), 4.38 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 171.0, 169.9, 157.8, 134.2, 131.6, 130.7, 129.8, 129.3, 128.5, 127.0, 126.4, 119.2, 50.4, 42.5. HRMS (ESI-TOF) m/z calcd for $C_{18}H_{15}NO_2$ $(M+H)^+$ 278.1176, found 278.1180.



1-Acetyl-4-phenyl-1,5-dihydro-2*H*-pyrrol-2-one (3k)

12.5 mg, 62% yield (silica gel; petroleum ether/ethyl acetate 2:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.61 (d, *J* = 6.7 Hz, 2H), 7.50 (d, *J* = 6.6 Hz, 3H), 6.47 (s, 1H), 4.80 (s, 2H), 2.63 (s, 3H).

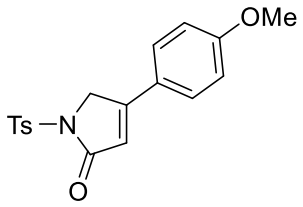
Compound **3k** is known compound, and the proton spectrum is fully consistent with literature reported.[S11]



Tert-butyl 2-oxo-4-phenyl-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3l)

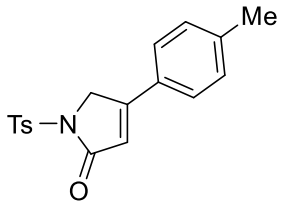
17.1 mg, 66% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (dd, *J* = 6.1, 3.0 Hz, 2H), 7.48 (d, *J* = 5.5 Hz, 3H), 6.44 (s, 1H), 4.72 (s, 2H), 1.62 (s, 9H).

Compound **3l** is known compound, and the proton spectrum is fully consistent with literature reported.[S12]



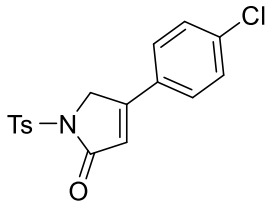
4-(4-Methoxyphenyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3m)

24.7 mg, 72% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 142-144℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 – 7.97 (m, 2H), 7.50 – 7.44 (m, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.00 – 6.94 (m, 2H), 6.19 (s, 1H), 4.82 (s, 2H), 3.88 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.9, 162.4, 157.4, 145.0, 135.7, 129.8, 128.0, 127.9, 123.1, 116.3, 114.7, 55.5, 51.7, 21.6. HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_4S$ $(M+H)^+$ 344.0951, found 344.0953.



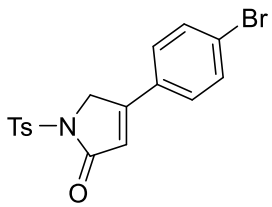
4-(*p*-Tolyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3n)

23.5 mg, 72% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 148-150℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.96 – 7.83 (m, 2H), 7.35 – 7.29 (m, 2H), 7.26 (d, *J* = 8.1 Hz, 2H), 7.17 (d, *J* = 8.0 Hz, 2H), 6.17 (d, *J* = 1.5 Hz, 1H), 4.73 (d, *J* = 1.5 Hz, 2H), 2.35 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.8, 157.8, 145.1, 142.4, 135.6, 130.0, 129.8, 128.0, 127.8, 126.2, 117. 51.8, 21.7, 21.6. HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_3S$ $(M+H)^+$ 328.1002, found 328.1006.



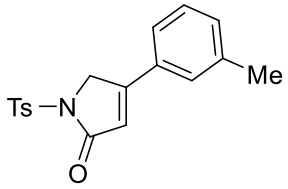
4-(4-Chlorophenyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3o)

24.3 mg, 70% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 140-142℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.0 Hz, 2H), 7.45 (d, *J* = 1.2 Hz, 4H), 7.37 (d, *J* = 8.0 Hz, 2H), 6.32 (s, 1H), 4.83 (s, 2H), 2.45 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.3, 156.3, 145.3, 137.8, 135.4, 129.9, 129.7, 129.0, 128.0, 127.4, 119.2, 51.6, 21.7. HRMS (ESI-TOF) m/z calcd for $C_{17}H_{14}ClNO_3S$ $(M+H)^+$ 348.0456, found 348.0460.



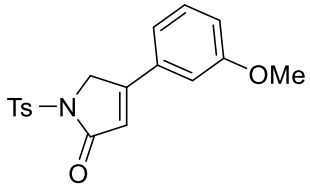
4-(4-Bromophenyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3p)

26.9 mg, 69% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 138-140℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.3 Hz, 2H), 7.59 (d, *J* = 8.6 Hz, 2H), 7.39 – 7.33 (m, 4H), 6.31 (t, *J* = 1.6 Hz, 1H), 4.80 (d, *J* = 1.5 Hz, 2H), 2.43 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.2, 156.3, 145.3, 135.4, 132.6, 129.8, 129.4, 128.0, 127.6, 126.2, 119.3, 51.6, 21.7. HRMS (ESI-TOF) m/z calcd for $C_{17}H_{14}BrNO_3S$ $(M+H)^+$ 391.9951, found 391.9952.



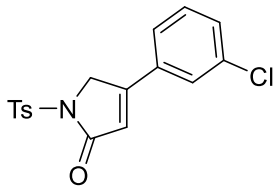
4-(*m*-Tolyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3q)

23.9 mg, 73% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 137-139℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.4 Hz, 2H), 7.41 – 7.30 (m, 6H), 6.31 – 6.30 (m, 1H), 4.84 (d, *J* = 1.5 Hz, 2H), 2.45 (s, 3H), 2.41 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.6, 158.0, 145.1, 139.1, 135.6, 132.5, 130.5, 129.8, 129.2, 128.0, 126.8, 123.4, 118.5, 51.8, 21.7, 21.4. HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_3S$ $(M+H)^+$ 328.1002, found 328.1005.



4-(3-Methoxyphenyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3r)

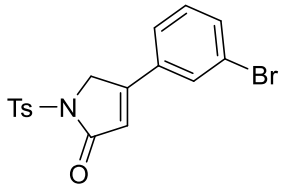
24.4 mg, 71% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 141-143℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.08 – 7.98 (m, 2H), 7.42 – 7.32 (m, 3H), 7.13 – 7.00 (m, 3H), 6.31 (s, 1H), 4.83 (s, 2H), 3.86 (s, 3H), 2.45 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.5, 160.2, 157.7, 145.2, 135.5, 131.8, 130.4, 129.8, 128.0, 119.0, 118.6, 117.0, 111.8, 55.5, 51.8, 21.7. HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_4S$ $(M+H)^+$ 344.0951, found 344.0955.



4-(3-Chlorophenyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3s)

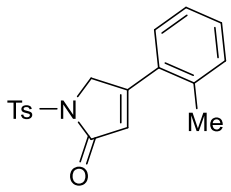
23.6 mg, 68% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 140-142℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.92 (d, *J* = 8.4 Hz, 2H), 7.40 (q, *J* = 1.4 Hz, 1H), 7.31 (d, *J* = 1.2 Hz, 1H), 7.28 (d, *J* = 8.1 Hz, 2H), 7.19 (s, 2H), 6.26 – 6.25 (m, 1H), 4.74 (d, *J* = 1.5 Hz, 2H), 2.36 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.0, 156.1, 145.3, 135.5, 135.4, 132.3, 131.5, 130.6, 129.9, 128.1, 126.3, 124.3, 120.1,

51.6, 21.7. HRMS (ESI-TOF) m/z calcd for $C_{17}H_{14}ClNO_3S$ $(M+H)^+$ 348.0456, found 348.0459.



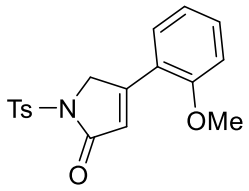
4-(3-Bromophenyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3t)

27.3 mg, 70% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 143-145℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.1 Hz, 2H), 7.66 – 7.60 (m, 2H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.39 – 7.35 (m, 3H), 6.34 (s, 1H), 4.82 (s, 2H), 2.46 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 168.0, 156.0, 145.3, 135.4, 134.4, 132.5, 130.8, 129.9, 129.2, 128.0, 124.7, 123.5, 120.1, 51.6, 21.7. HRMS (ESI-TOF) m/z calcd for $C_{17}H_{14}BrNO_3S$ $(M+H)^+$ 391.9951, found 391.9953.



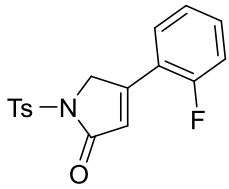
4-(*o*-Tolyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3u)

20.6 mg, 63% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 146-148℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 8.3 Hz, 2H), 7.39 – 7.28 (m, 6H), 6.28 (t, *J* = 1.6 Hz, 1H), 4.82 (d, *J* = 1.5 Hz, 2H), 2.43 (s, 3H), 2.39 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.7, 158.0, 145.1, 139.1, 135.5, 132.5, 130.4, 129.8, 129.2, 128.0, 126.8, 123.4, 118.5, 51.8, 21.7, 21.4. HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_3S$ $(M+H)^+$ 328.1002, found 328.1007.



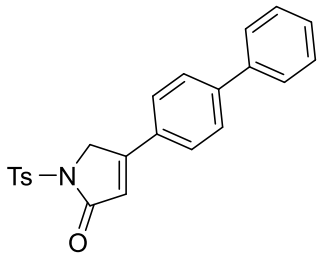
4-(2-Methoxyphenyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3v)

20.9 mg, 61% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 148-150℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.3 Hz, 2H), 7.49 – 7.30 (m, 4H), 7.10 – 6.95 (m, 2H), 6.56 (t, *J* = 1.5 Hz, 1H), 4.89 (d, *J* = 1.5 Hz, 2H), 3.92 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 169.3, 158.6, 154.8, 144.9, 135.7, 132.7, 129.8, 128.3, 128.0, 126.5, 121.4, 121.0, 111.7, 55.5, 53.4, 21.6. HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_4S$ $(M+H)^+$ 344.0951, found 344.0957.



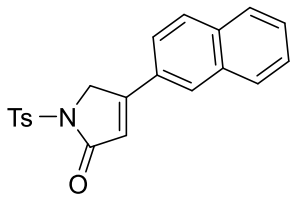
4-(2-Fluorophenyl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3w)

17.9 mg, 54% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 139-141℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (d, *J* = 8.1 Hz, 2H), 7.51 – 7.44 (m, 2H), 7.37 (d, *J* = 8.1 Hz, 2H), 7.27 – 7.15 (m, 2H), 6.49 (s, 1H), 4.89 (s, 2H), 2.45 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.4, 162.0, 160.3, 152.1, 145.2, 135.5, 133.1 (d, *J* = 9.6 Hz), 129.8, 128.0, 124.9 (d, *J* = 3.4 Hz), 122.5 (d, *J* = 9.7 Hz), 118.8 (d, *J* = 12.0 Hz), 117.0 (d, *J* = 21.9 Hz), 52.7 (d, *J* = 5.8 Hz), 21.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -109.4. HRMS (ESI-TOF) m/z calcd for $C_{17}H_{14}FNO_3S$ $(M+H)^+$ 332.0751, found 332.0757.



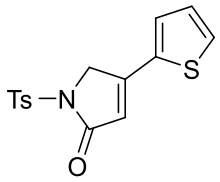
4-([1,1'-Biphenyl]-4-yl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3x)

29.6 mg, 76% yield (silica gel; petroleum ether/ethyl acetate 2:1), brown solid, mp = 151-153℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.01 (d, *J* = 8.4 Hz, 2H), 7.67 (d, *J* = 8.3 Hz, 2H), 7.62 – 7.60 (m, 2H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.47 (t, *J* = 7.6 Hz, 2H), 7.41 – 7.39 (m, 1H), 7.35 (d, *J* = 8.2 Hz, 2H), 6.34 – 6.33 (m, 1H), 4.87 (d, *J* = 1.5 Hz, 2H), 2.43 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.6, 157.3, 145.2, 144.4, 139.6, 135.5, 129.8, 129.3, 129.1, 128.3, 128.0, 127.9, 127.1, 126.7, 118.5, 51.8, 21.7. HRMS (ESI-TOF) m/z calcd for $C_{23}H_{19}NO_3S$ $(M+H)^+$ 390.1158, found 390.1162.



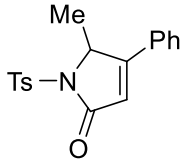
4-(Naphthalen-2-yl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3y)

24.7 mg, 68% yield (silica gel; petroleum ether/ethyl acetate 2:1), brown solid, mp = 148-150℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.02 (d, *J* = 8.4 Hz, 2H), 7.93 (d, *J* = 1.8 Hz, 1H), 7.90 – 7.84 (m, 3H), 7.60 – 7.55 (m, 3H), 7.35 (d, *J* = 8.2 Hz, 2H), 6.42 – 6.41 (m, 1H), 4.97 (d, *J* = 1.5 Hz, 2H), 2.43 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.6, 157.6, 145.2, 135.6, 134.6, 133.0, 129.8, 129.2, 128.8, 128.1, 128.0, 127.9, 127.8, 127.3, 126.3, 123.0, 119.0, 51.8, 21.7. HRMS (ESI-TOF) m/z calcd for $C_{21}H_{17}NO_3S$ $(M+H)^+$ 364.1002, found 364.1009.



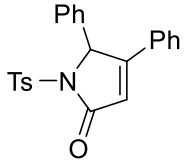
4-(Thiophen-2-yl)-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3z)

22.0 mg, 69% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid, mp = 128-130℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 – 7.76 (m, 2H), 7.37 – 7.32 (m, 1H), 7.17 (d, *J* = 8.2 Hz, 2H), 7.15 – 7.13 (m, 1H), 6.96 – 6.93 (m, 1H), 5.95 – 5.89 (m, 1H), 4.63 (d, *J* = 1.5 Hz, 2H), 2.26 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.3, 151.3, 145.2, 135.5, 134.3, 130.3, 129.8, 128.6, 128.2, 128.0, 116.9, 51.9, 21.7. HRMS (ESI-TOF) m/z calcd for $C_{15}H_{13}NO_3S_2$ $(M+H)^+$ 320.0410, found 320.0416.



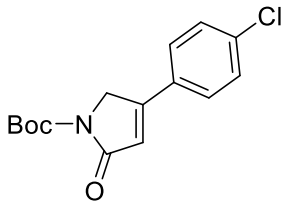
5-Methyl-4-phenyl-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3aa)

18.0 mg, 55% yield (silica gel; petroleum ether/ethyl acetate 2:1), brown solid, mp = 152-154℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 (d, *J* = 8.1 Hz, 2H), 7.48 (q, *J* = 3.6, 2.3 Hz, 5H), 7.36 (d, *J* = 8.1 Hz, 2H), 6.20 (s, 1H), 5.36 – 5.29 (m, 1H), 2.45 (s, 3H), 1.63 (d, *J* = 6.7 Hz, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 164.6, 144.9, 136.4, 131.2, 130.5, 129.6, 129.3, 128.1, 127.3, 118.4, 59.8, 21.7, 20.4. HRMS (ESI-TOF) m/z calcd for $C_{18}H_{17}NO_3S$ $(M+H)^+$ 328.1002, found 328.1006.

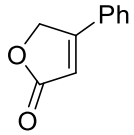


4,5-Diphenyl-1-tosyl-1,5-dihydro-2*H*-pyrrol-2-one (3ab)

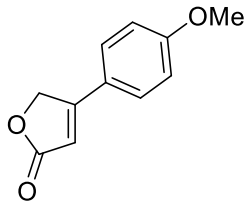
32 mg, 65% yield (silica gel; petroleum ether/ethyl acetate 2:1), brown solid, mp = 162-164℃. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43 – 7.39 (m, 2H), 7.35 – 7.30 (m, 4H), 7.28 (s, 2H), 7.24 – 7.21 (m, 4H), 7.07 (d, *J* = 8.2 Hz, 2H), 6.48 (d, *J* = 1.1 Hz, 1H), 6.26 (d, *J* = 1.2 Hz, 1H), 2.36 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 161.5, 144.4, 136.1, 134.6, 131.1, 130.2, 129.1, 129.0, 128.9, 128.9, 128.5, 127.7, 127.3, 118.9, 67.0, 21.6. HRMS (ESI-TOF) m/z calcd for $C_{23}H_{19}NO_3S$ $(M+H)^+$ 390.1158, found 390.1160.



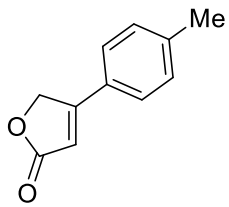
Tert-butyl 4-(4-chlorophenyl)-2-oxo-2,5-dihydro-1*H*-pyrrole-1-carboxylate (3ac)
38 mg, 83% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.52 – 7.44 (m, 4H), 6.42 (s, 1H), 4.69 (s, 2H), 1.61 (s, 9H). Compound **3ac** is known compound, and the proton spectrum is fully consistent with literature reported.[S12]



4-Phenylfuran-2(5*H*)-one (5a)
22.4 mg, 70% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 (t, *J* = 6.3 Hz, 5H), 6.41 (s, 1H), 5.26 (s, 2H).
Compound **5a** is known compound, and the proton spectrum is fully consistent with literature reported.[S9]



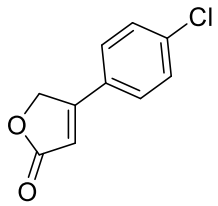
4-(4-Methoxyphenyl)furan-2(5*H*)-one (5b)
27.4 mg, 72% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.48 (d, *J* = 7.8 Hz, 2H), 6.99 (d, *J* = 7.8 Hz, 2H), 6.26 (s, 1H), 5.21 (s, 2H), 3.89 (s, 3H).
Compound **5b** is known compound, and the proton spectrum is fully consistent with literature reported.[S9]



4-(*p*-Tolyl)furan-2(5*H*)-one (5c)

24.4 mg, 70% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.33 (d, *J* = 7.9 Hz, 2H), 7.21 (s, 2H), 6.25 (s, 1H), 5.14 (s, 2H), 2.34 (s, 3H).

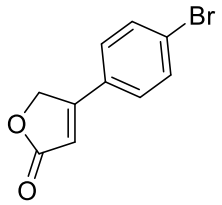
Compound **5c** is known compound, and the proton spectrum is fully consistent with literature reported.[S9]



4-(4-Chlorophenyl)furan-2(5*H*)-one (5d)

26.4 mg, 68% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 (s, 4H), 6.40 (t, *J* = 1.9 Hz, 1H), 5.22 (d, *J* = 1.7 Hz, 2H).

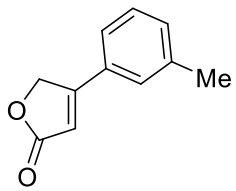
Compound **5d** is known compound, and the proton spectrum is fully consistent with literature reported.[S9]



4-(4-Bromophenyl)furan-2(5*H*)-one (5e)

32.2 mg, 68% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 – 7.60 (m, 2H), 7.46 – 7.34 (m, 2H), 6.41 (t, *J* = 1.8 Hz, 1H), 5.22 (d, *J* = 1.8 Hz, 2H).

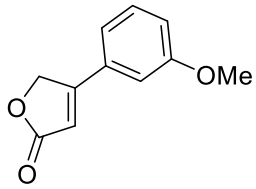
Compound **5e** is known compound, and the proton spectrum is fully consistent with literature reported.[S13]



4-(*m*-Tolyl)furan-2(5*H*)-one (5f)

24.0 mg, 69% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 – 7.32 (m, 4H), 6.38 (t, *J* = 1.8 Hz, 1H), 5.24 (d, *J* = 1.8 Hz, 2H), 2.43 (s, 3H).

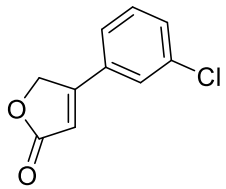
Compound **5f** is known compound, and the proton spectrum is fully consistent with literature reported.[S13]



4-(3-Methoxyphenyl)furan-2(5*H*)-one (5g)

26.6 mg, 70% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (t, *J* = 7.8 Hz, 1H), 7.13 – 7.00 (m, 3H), 6.39 (t, *J* = 0.9 Hz, 1H), 5.25 – 5.19 (m, 2H), 3.88 (s, 3H).

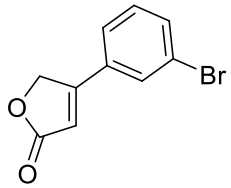
Compound **5g** is known compound, and the proton spectrum is fully consistent with literature reported.[S15]



4-(3-Chlorophenyl)furan-2(5*H*)-one (5h)

27.0 mg, 69% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.53 – 7.38 (m, 4H), 6.43 (t, *J* = 1.9 Hz, 1H), 5.23 (d, *J* = 1.8 Hz, 2H).

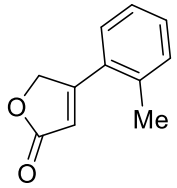
Compound **5h** is known compound, and the proton spectrum is fully consistent with literature reported.[S16]



4-(3-Bromophenyl)furan-2(5*H*)-one (5i)

55 mg, 67% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 – 7.63 (m, 2H), 7.46 (d, *J* = 7.9 Hz, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 6.46 – 6.37 (m, 1H), 5.22 (d, *J* = 1.8 Hz, 2H).

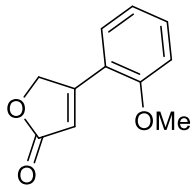
Compound **5i** is known compound, and the proton spectrum is fully consistent with literature reported.[S16]



4-(*o*-Tolyl)furan-2(5*H*)-one (5j)

21.6 mg, 62% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.32 – 7.29 (m, 1H), 7.27 – 7.19 (m, 3H), 6.20 (t, *J* = 1.8 Hz, 1H), 5.12 (d, *J* = 1.8 Hz, 2H), 2.41 (s, 3H).

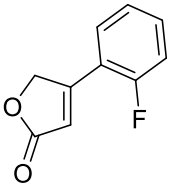
Compound **5j** is known compound, and the proton spectrum is fully consistent with literature reported.[S14]



4-(2-Methoxyphenyl)furan-2(5*H*)-one (5k)

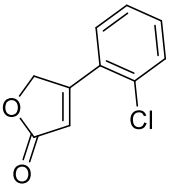
22.8 mg, 60% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.28 – 7.18 (m, 2H), 6.86 – 6.76 (m, 2H), 6.36 (s, 1H), 5.07 (s, 2H), 3.73 (s, 3H).

Compound **5k** is known compound, and the proton spectrum is fully consistent with literature reported.[S14]



4-(2-Fluorophenyl)furan-2(5*H*)-one (5l)

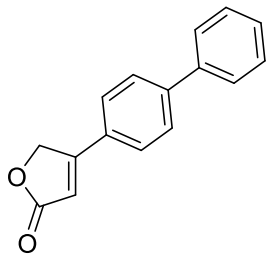
21.0 mg, 57% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. mp = 145-147°C. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 – 7.45 (m, 2H), 7.32 – 7.19 (m, 2H), 6.55 (q, *J* = 1.7 Hz, 1H), 5.29 (t, *J* = 1.7 Hz, 2H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 173.7, 161.0 (d, *J* = 255.6 Hz), 158.4, 133.4 (d, *J* = 8.9 Hz), 128.2 (d, *J* = 3.1 Hz), 125.0 (d, *J* = 3.3 Hz), 117.0, 116.9, 116.3 (d, *J* = 8.6 Hz), 72.0 (d, *J* = 8.5 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -109.6. HRMS (ESI-TOF) m/z calcd for $C_{10}H_7FO_2$ $(M+H)^+$ 179.0503, found 179.0506.



4-(2-Chlorophenyl)furan-2(5*H*)-one (5m)

22.5 mg, 58% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.54 – 7.45 (m, 2H), 7.28 – 7.26 (m, 1H), 7.23 – 7.18 (m, 1H), 6.53 (q, *J* = 1.6 Hz, 1H), 5.27 (t, *J* = 1.8 Hz, 2H).

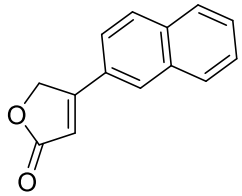
Compound **5m** is known compound, and the proton spectrum is fully consistent with literature reported.[S14]



4-([1,1'-Biphenyl]-4-yl)furan-2(5*H*)-one (5n)

34.9 mg, 74% yield (silica gel; petroleum ether/ethyl acetate 2:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 7.4 Hz, 2H), 7.67 – 7.59 (m, 4H), 7.51 (t, *J* = 7.5 Hz, 2H), 7.46 – 7.43 (m, 1H), 6.47 – 6.40 (m, 1H), 5.29 (d, *J* = 1.7 Hz, 2H).

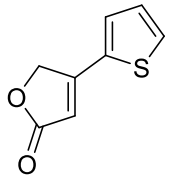
Compound **5n** is known compound, and the proton spectrum is fully consistent with literature reported.[S17]



4-(Naphthalen-2-yl)furan-2(5*H*)-one (5o)

29.8 mg, 71% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.95 – 7.87 (m, 4H), 7.70 – 7.57 (m, 3H), 6.51 (t, *J* = 1.8 Hz, 1H), 5.38 (d, *J* = 1.8 Hz, 2H).

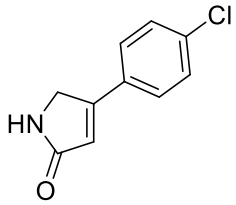
Compound **5o** is known compound, and the proton spectrum is fully consistent with literature reported.[S13]



4-(Thiophen-2-yl)furan-2(5*H*)-one (5p)

22.9 mg, 69% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 – 7.57 (m, 1H), 7.37 – 7.31 (m, 1H), 7.21 – 7.14 (m, 1H), 6.20 (t, *J* = 1.7 Hz, 1H), 5.19 (d, *J* = 1.7 Hz, 2H).

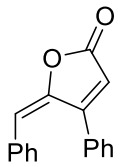
Compound **5p** is known compound, and the proton spectrum is fully consistent with literature reported.[S18]



4-(4-Chlorophenyl)-1,5-dihydro-2*H*-pyrrol-2-one (6)

16.4 mg, 85% yield from **3o**; 18.7 mg, 97% yield from **3ac** (silica gel; petroleum ether/ethyl acetate 2:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 – 7.41 (m, 4H), 6.52 (s, 1H), 6.44 (s, 1H), 4.42 (s, 2H).

Compound **6** is known compound, and the proton spectrum is fully consistent with literature reported.[S12]



***N*-((1-Benzyl-5-(2-phenylaziridin-1-yl)-1*H*-1,2,3-triazol-4-yl)(phenyl)methyl)-*N*-ethylaniline (9)**

22.6 mg, 91% yield (silica gel; petroleum ether/ethyl acetate 3:1), brown solid. ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 – 7.80 (m, 2H), 7.59 – 7.50 (m, 5H), 7.46 – 7.40 (m, 2H), 7.39 – 7.33 (m, 1H), 6.24 (s, 1H), 6.21 (s, 1H).

Compound **9** is known compound, and the proton spectrum is fully consistent with literature reported.[S19]

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(S19) Sontakke, G. S.; Pal, K.; Volla, C. M. R. *Org. Lett.* **2022**, *24*, 8796-8801.

14.NMR spectra

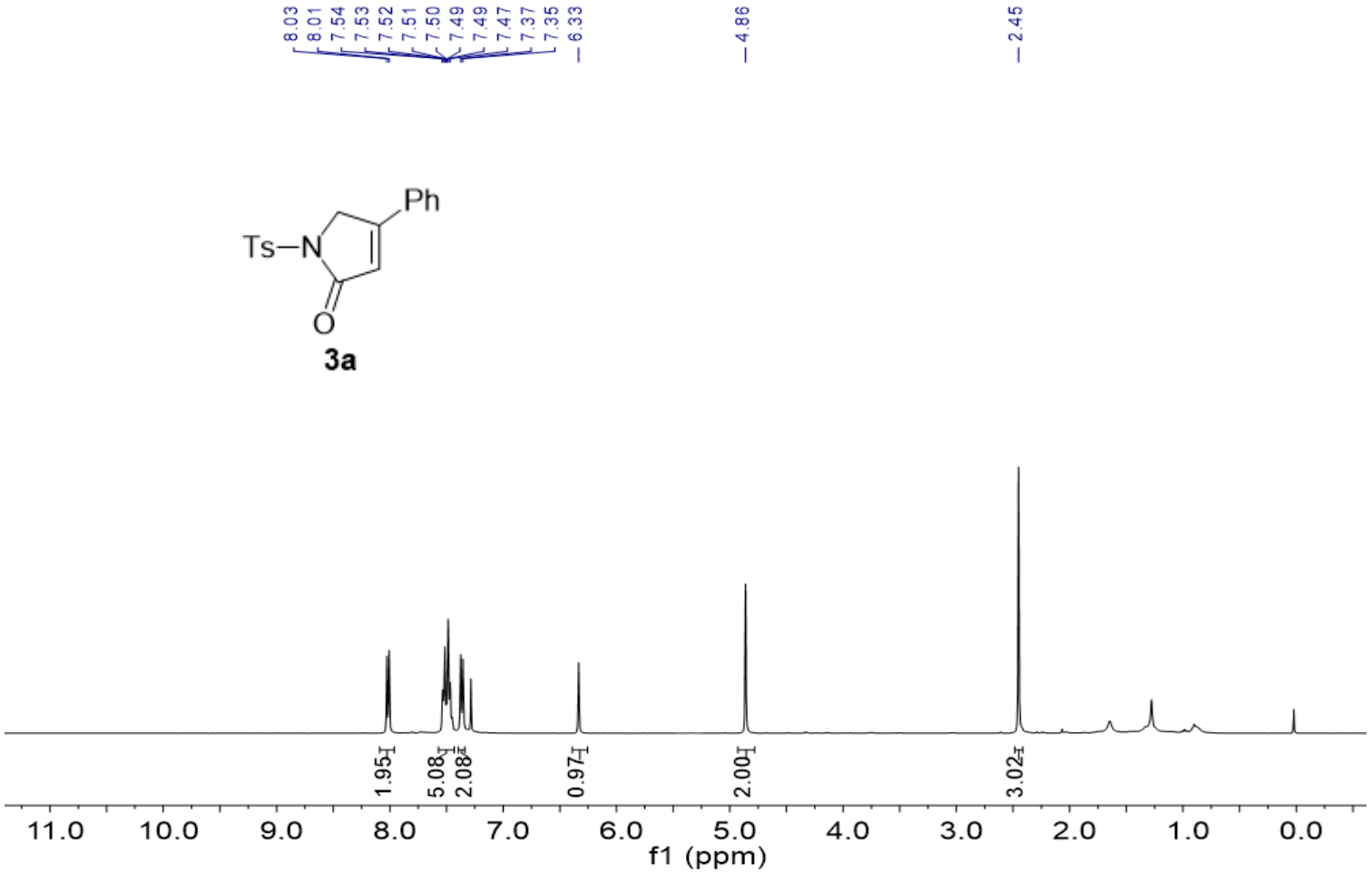

Figure S2. ^{1}H NMR spectrum of **3a** in $CDCl_3$ (400 MHz) at 23℃.

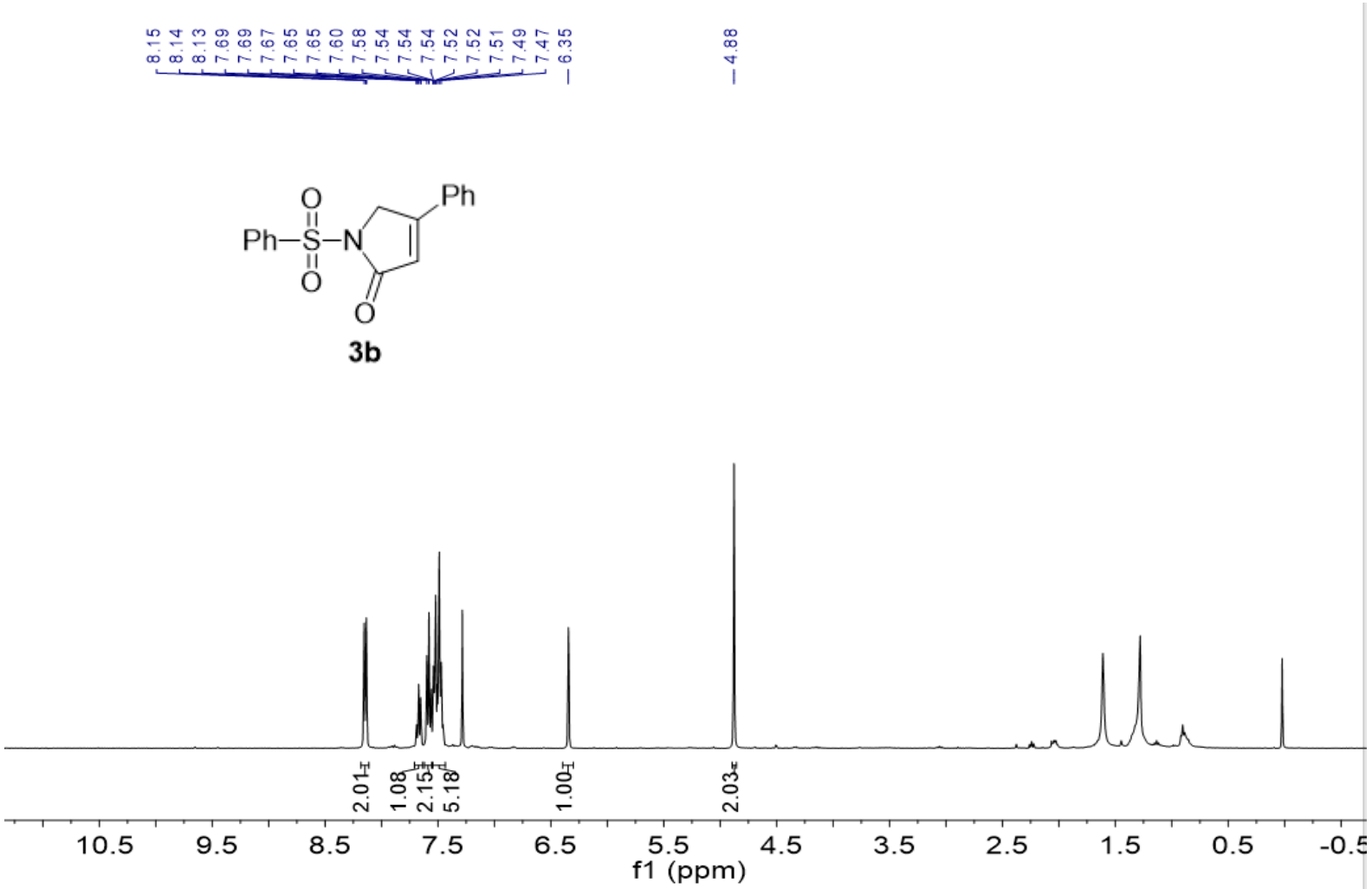

Figure S3. ^{1}H NMR spectrum of **3b** in $CDCl_3$ (400 MHz) at 23℃.

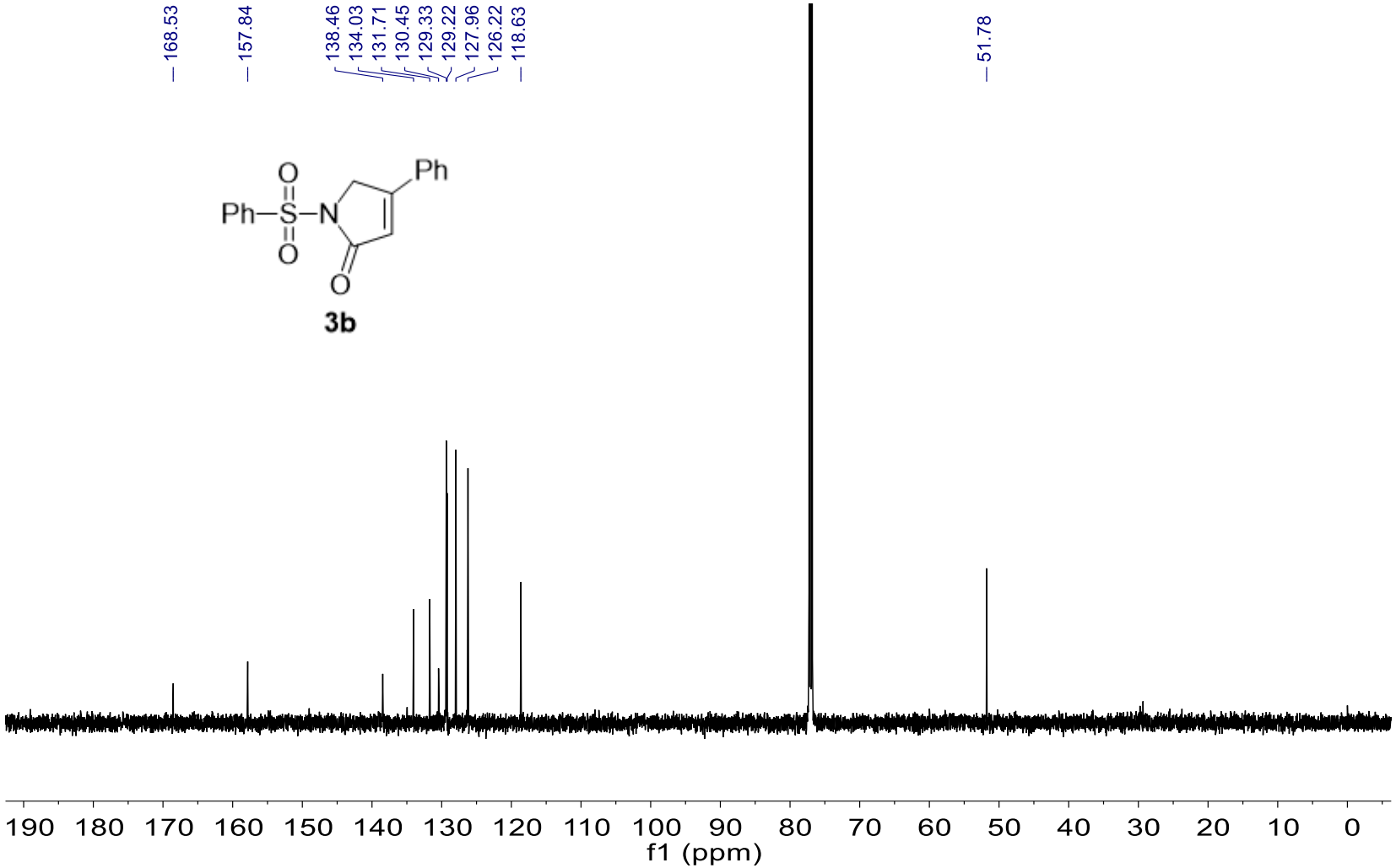

Figure S4. ^{13}C NMR spectrum of 3**b** in $CDCl_3$ (150 MHz) at 23°C.

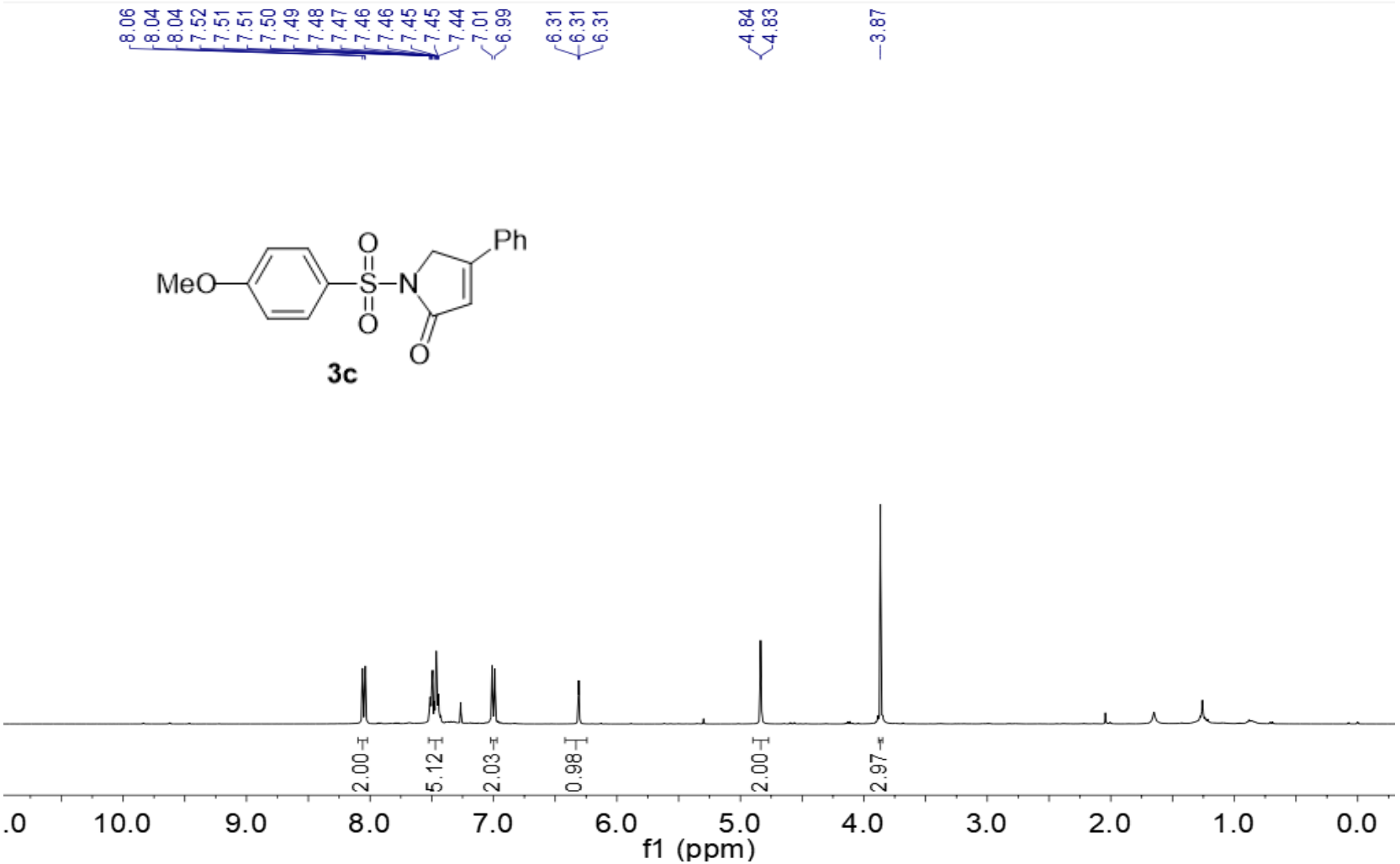

Figure S5. ^{1}H NMR spectrum of 3**c** in $CDCl_3$ (400 MHz) at 23°C.

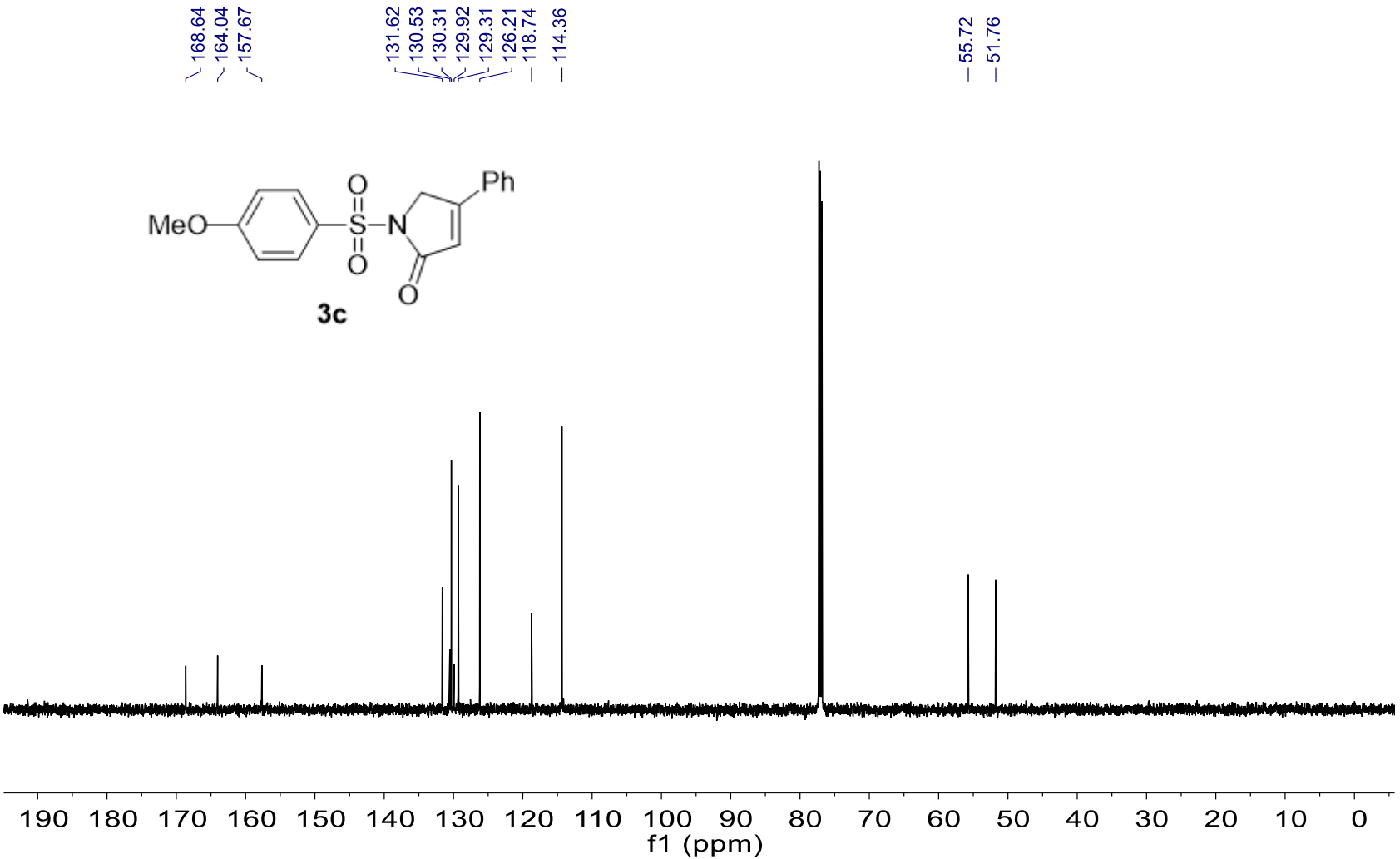

Figure S6. ^{13}C NMR spectrum of **3c** in $CDCl_3$ (150 MHz) at 23℃.

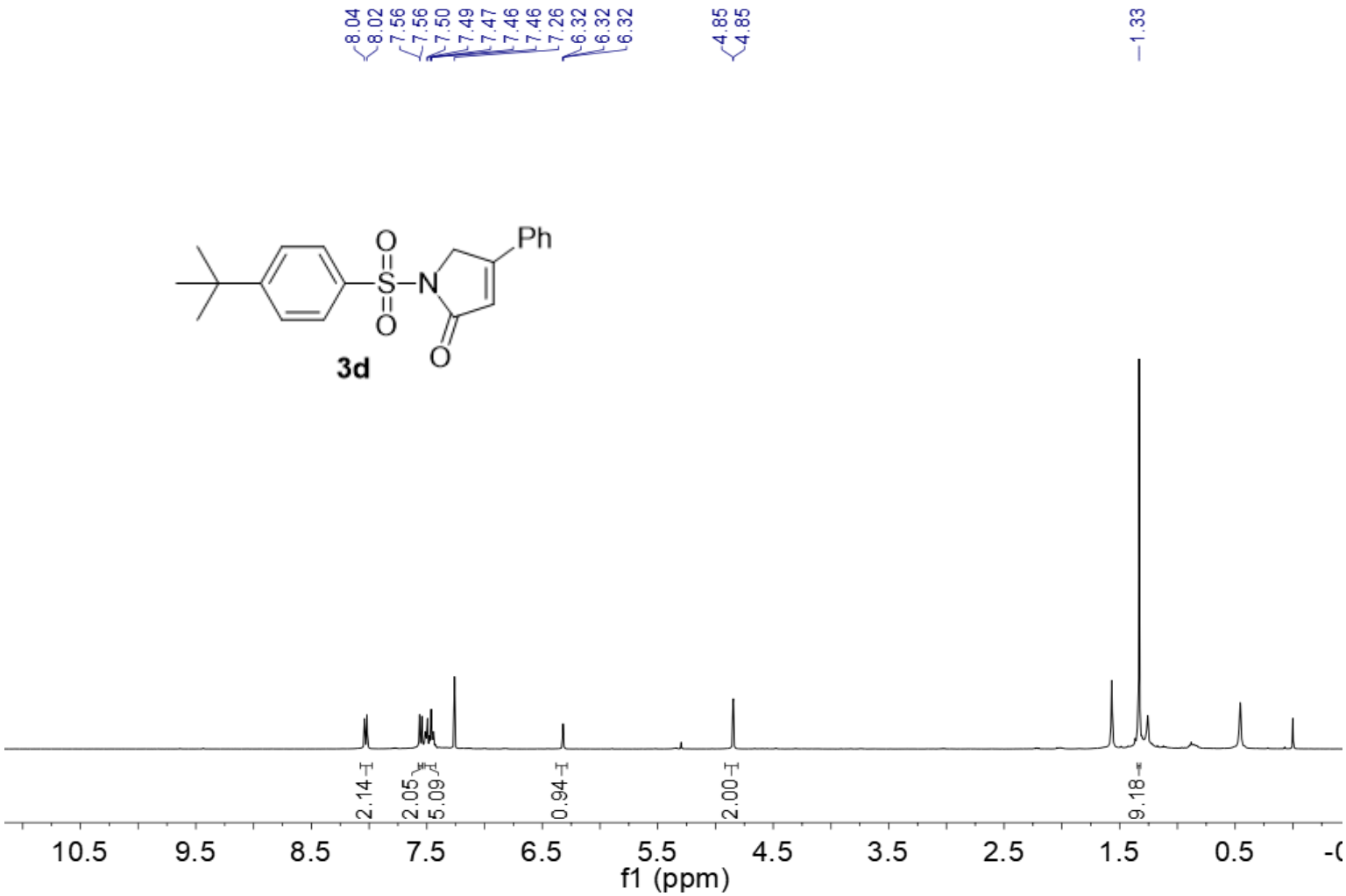

Figure S7. ^{1}H NMR spectrum of **3d** in $CDCl_3$ (400 MHz) at 23℃.

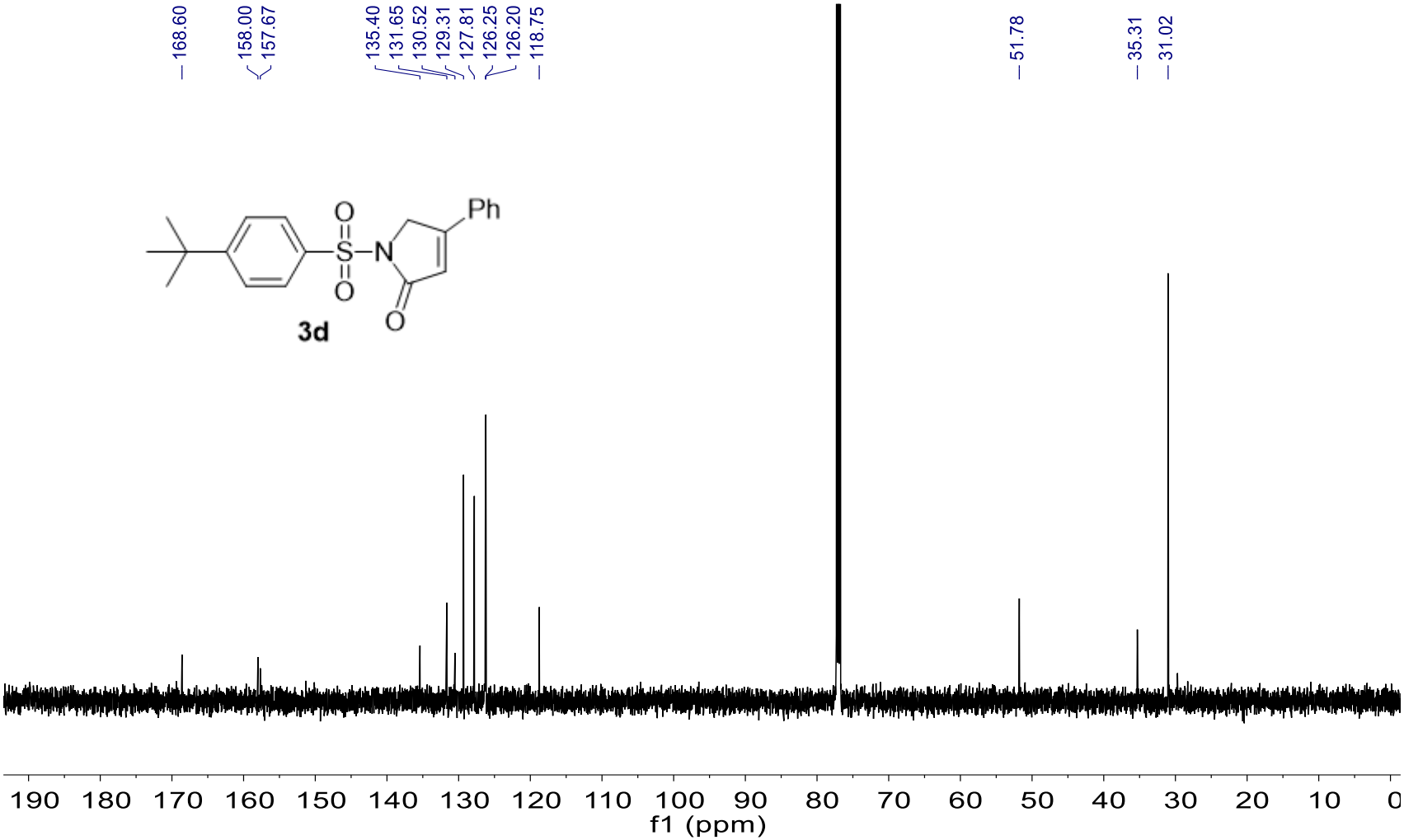

Figure S8. ^{13}C NMR spectrum of **3d** in $CDCl_3$ (150 MHz) at 23℃.

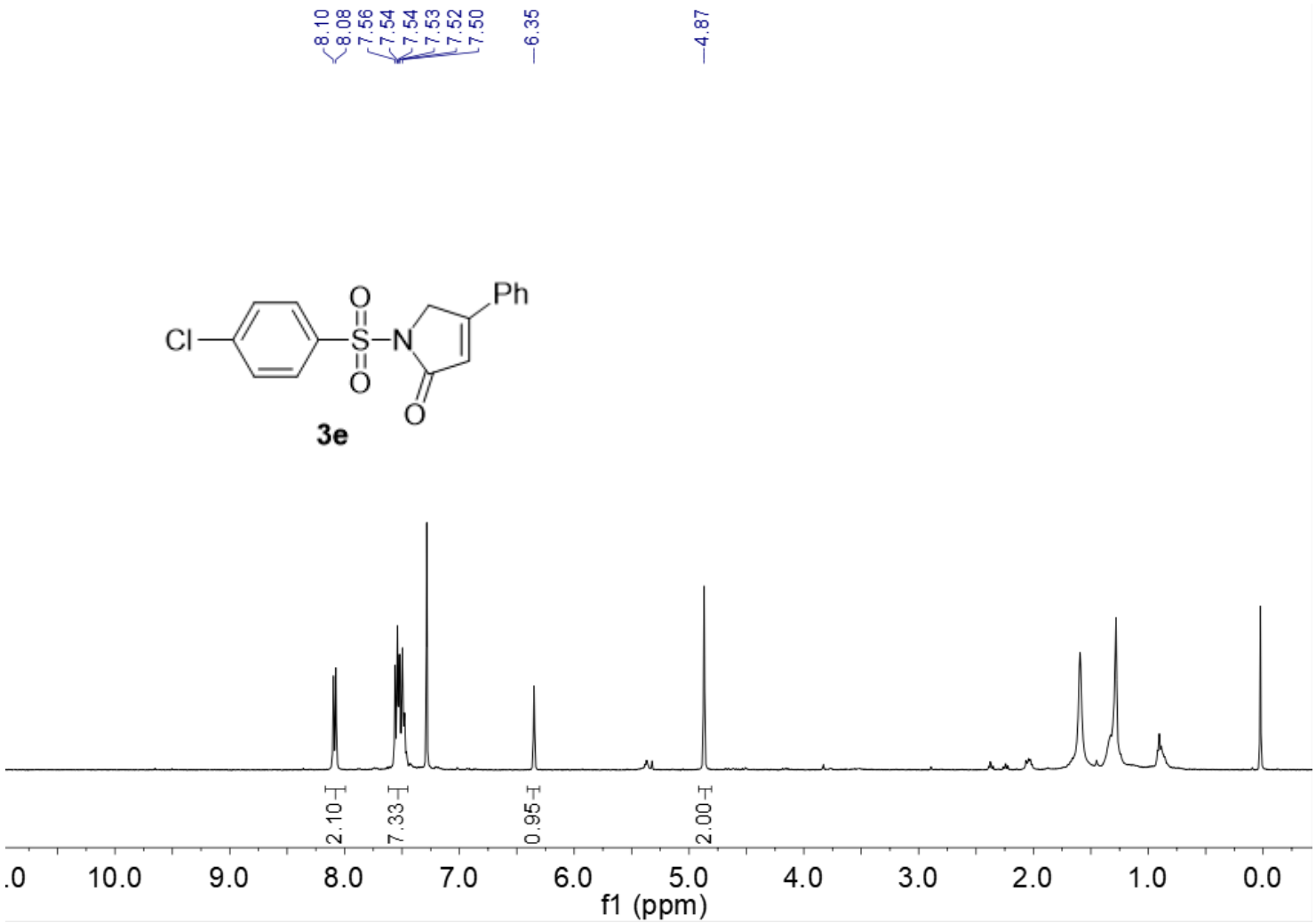

Figure S9. ^{1}H NMR spectrum of **3e** in $CDCl_3$ (400 MHz) at 23°C.

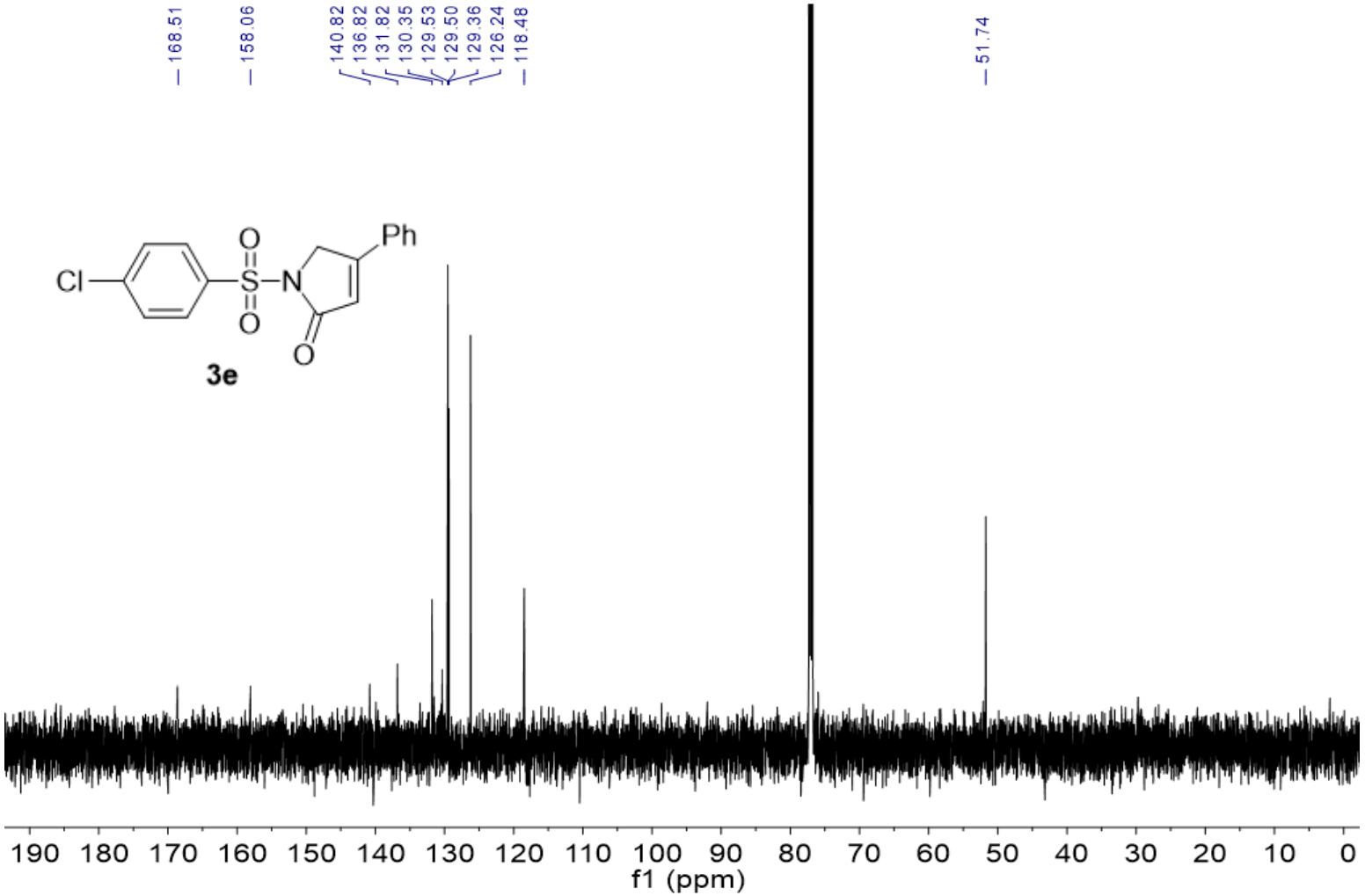

Figure S10. ^{13}C NMR spectrum of **3e** in $CDCl_3$ (150 MHz) at 23℃.

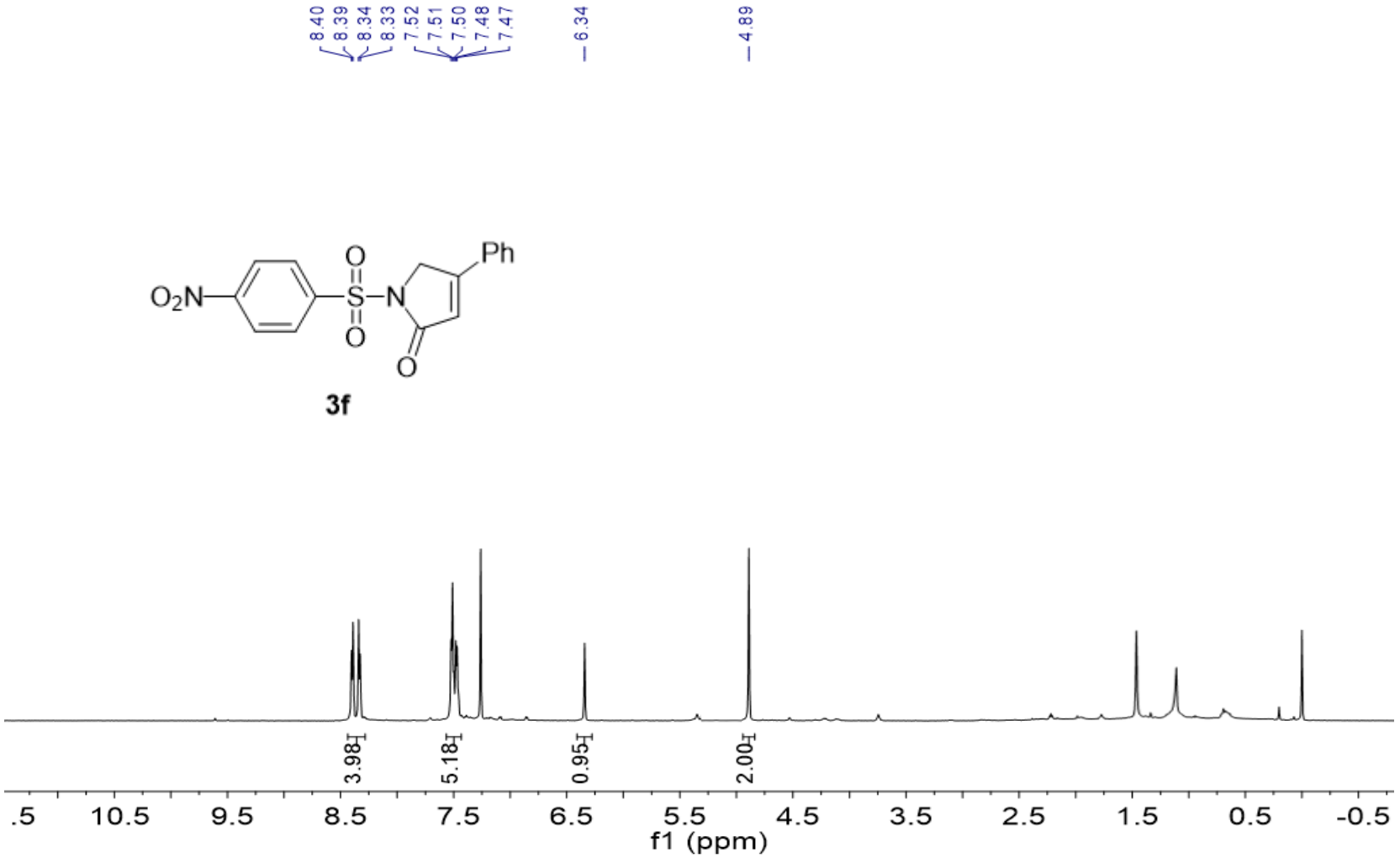

Figure S11. ^{1}H NMR spectrum of **3f** in $CDCl_3$ (600 MHz) at 23°C.

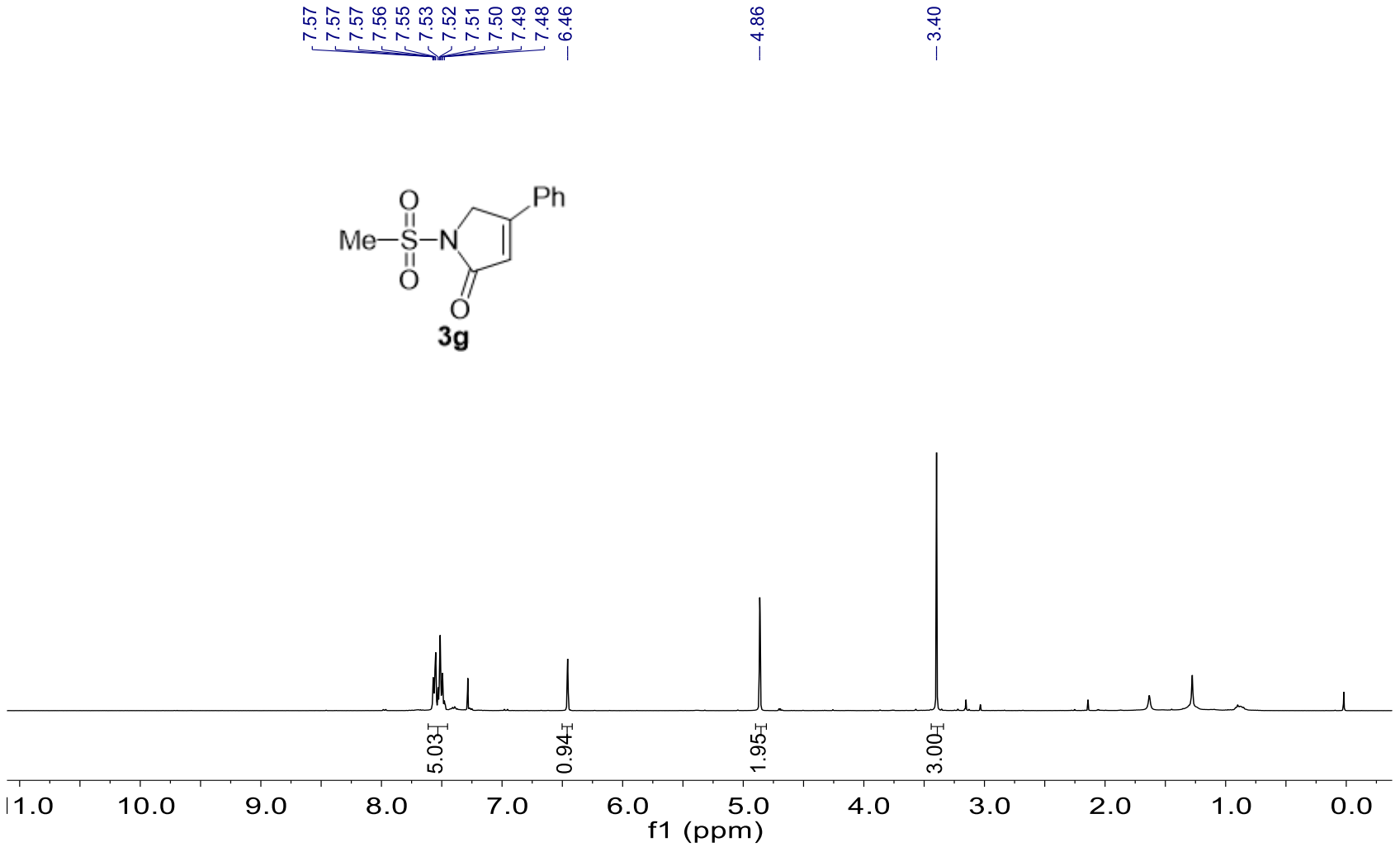

Figure S12. ^{1}H NMR spectrum of 3**g** in $CDCl_3$ (400 MHz) at 23℃.

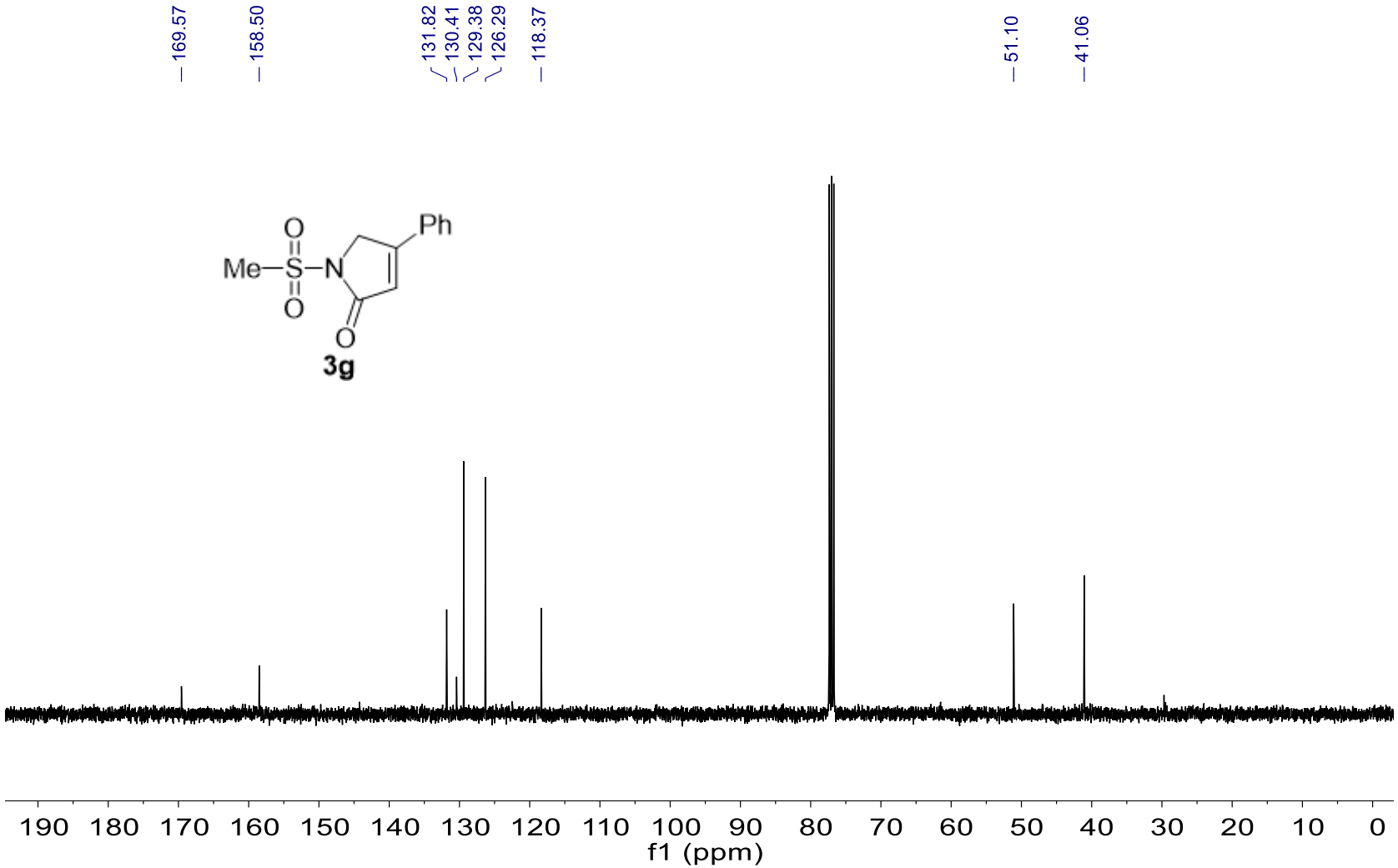

Figure S13. ^{13}C NMR spectrum of 3**g** in $CDCl_3$ (100 MHz) at 23℃.

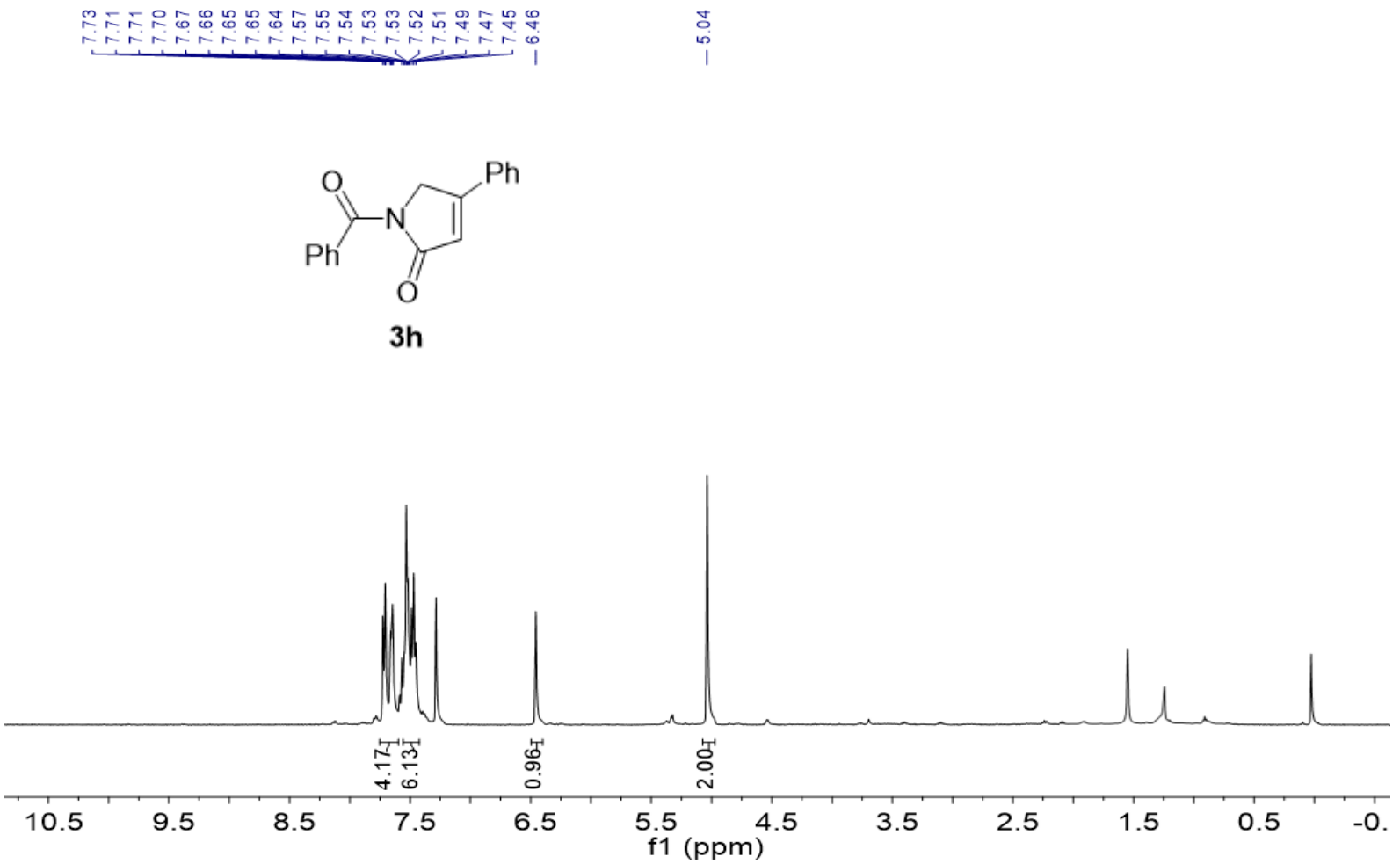

Figure S14. ^{1}H NMR spectrum of **3h** in $CDCl_3$ (400 MHz) at 23℃.

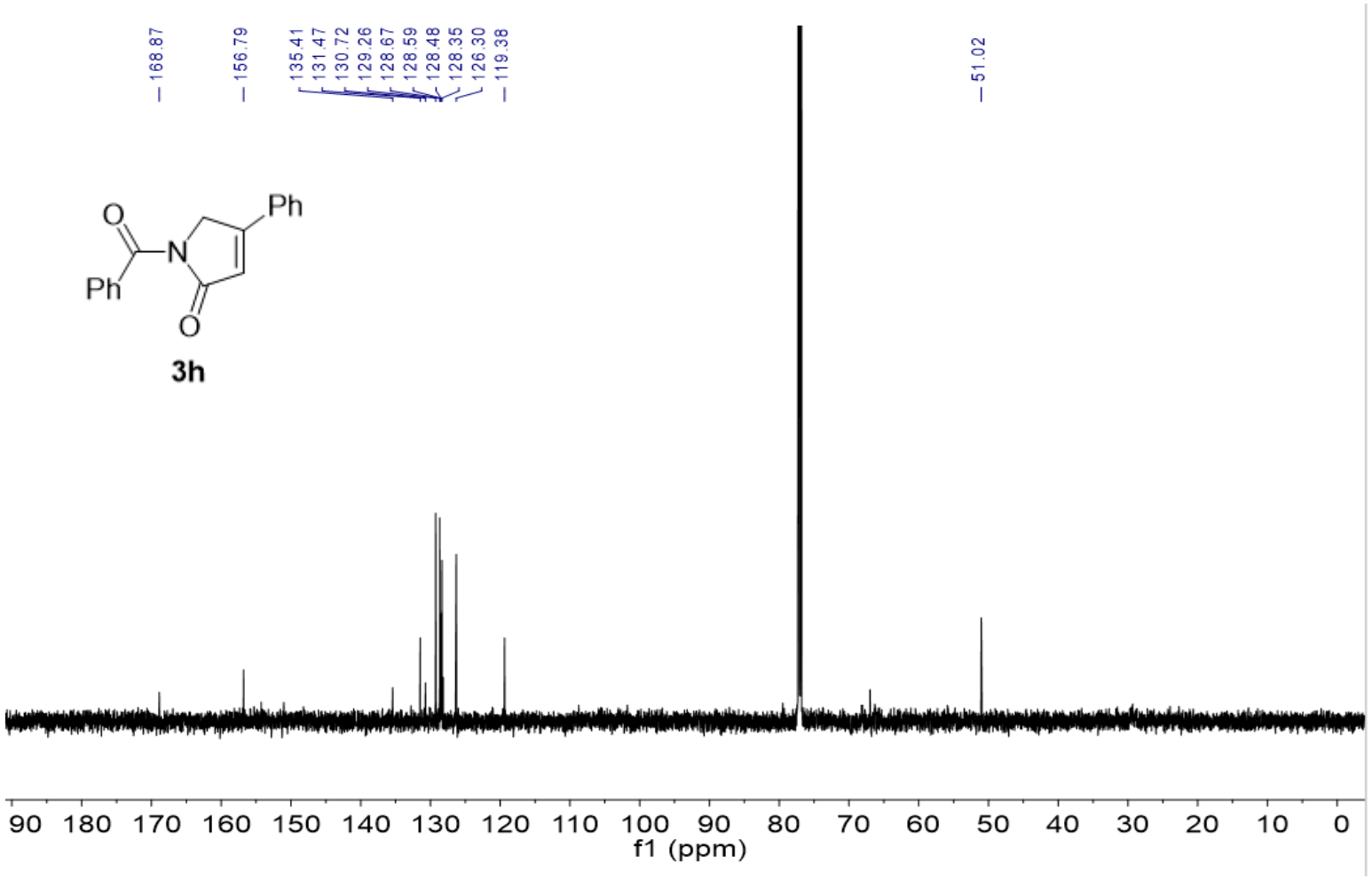

Figure S15. ^{13}C NMR spectrum of **3h** in $CDCl_3$ (150 MHz) at 23°C.

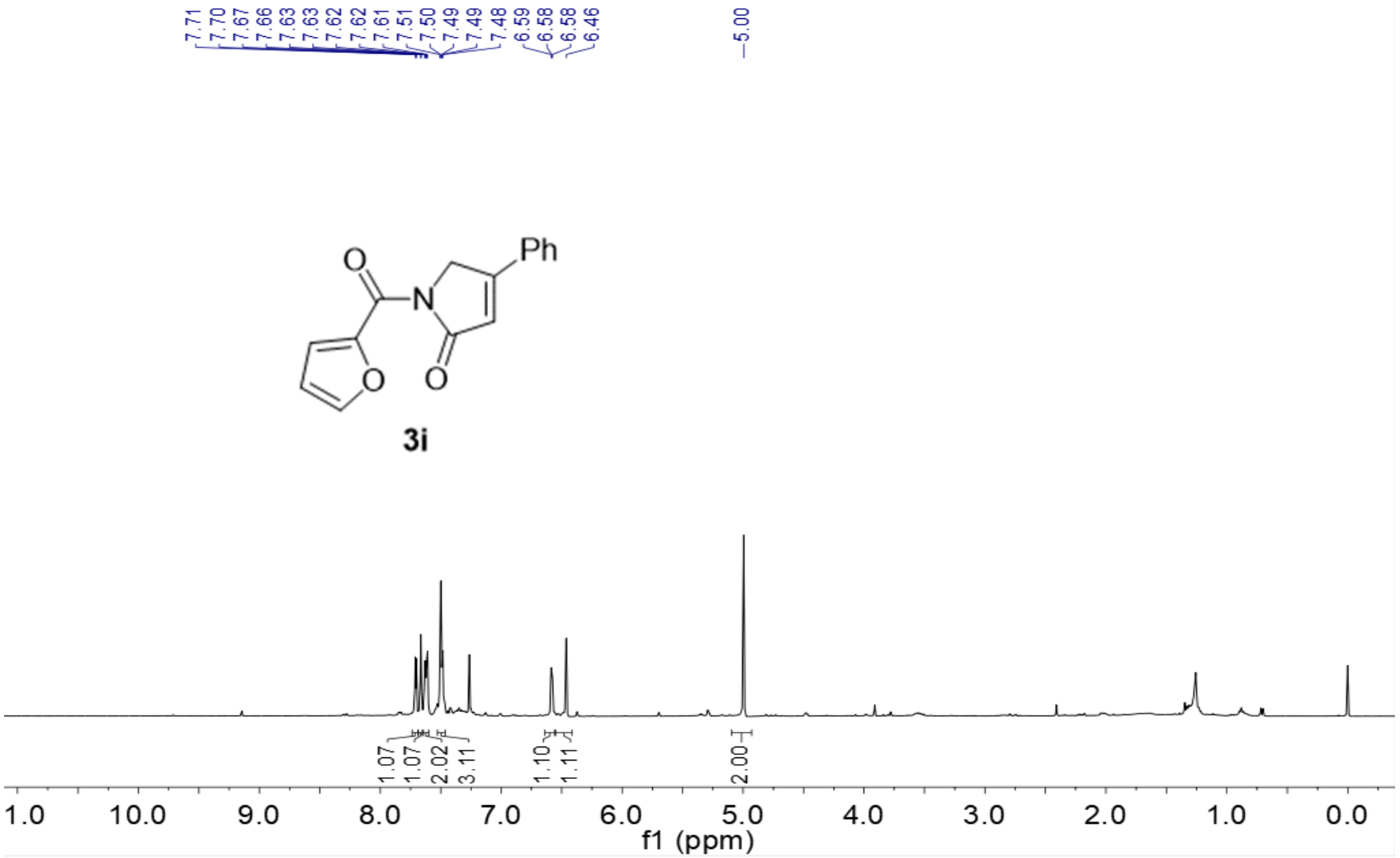

Figure S16. ^{1}H NMR spectrum of **3i** in $CDCl_3$ (400 MHz) at 23℃.

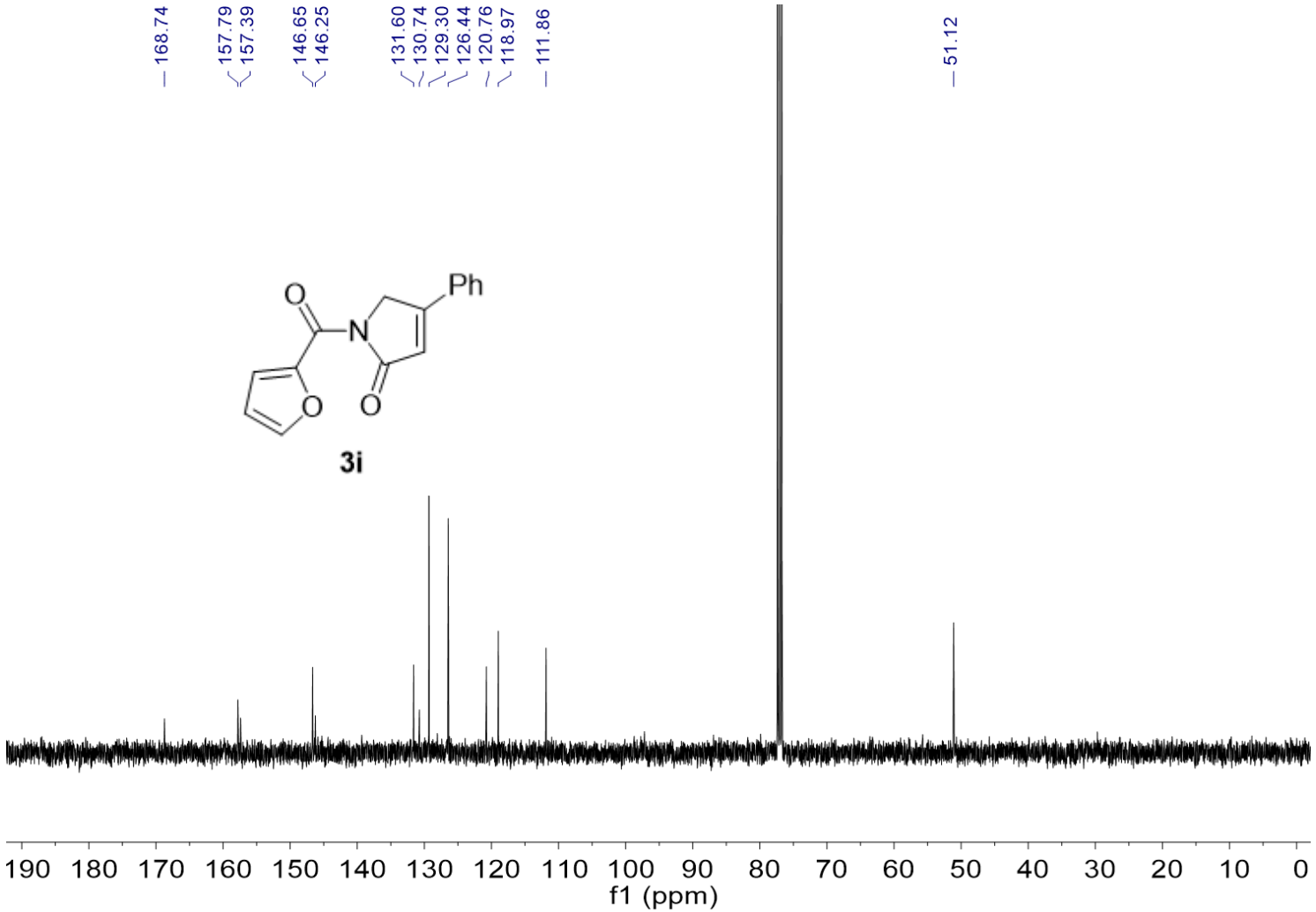

Figure S17. ^{13}C NMR spectrum of **3i** in $CDCl_3$ (100 MHz) at 23℃.

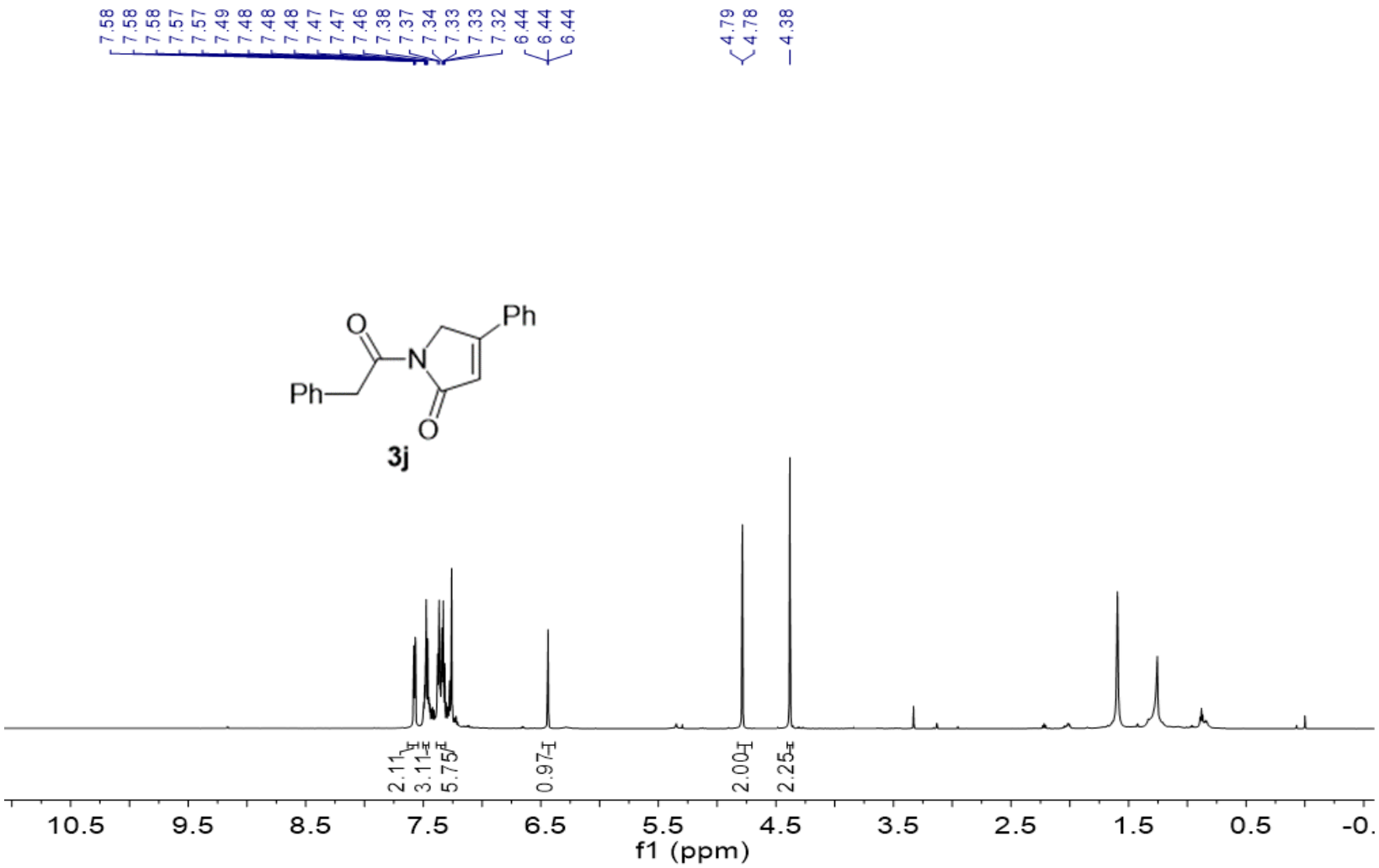

Figure S18. ^{1}H NMR spectrum of **3j** in $CDCl_3$ (600 MHz) at 23°C.

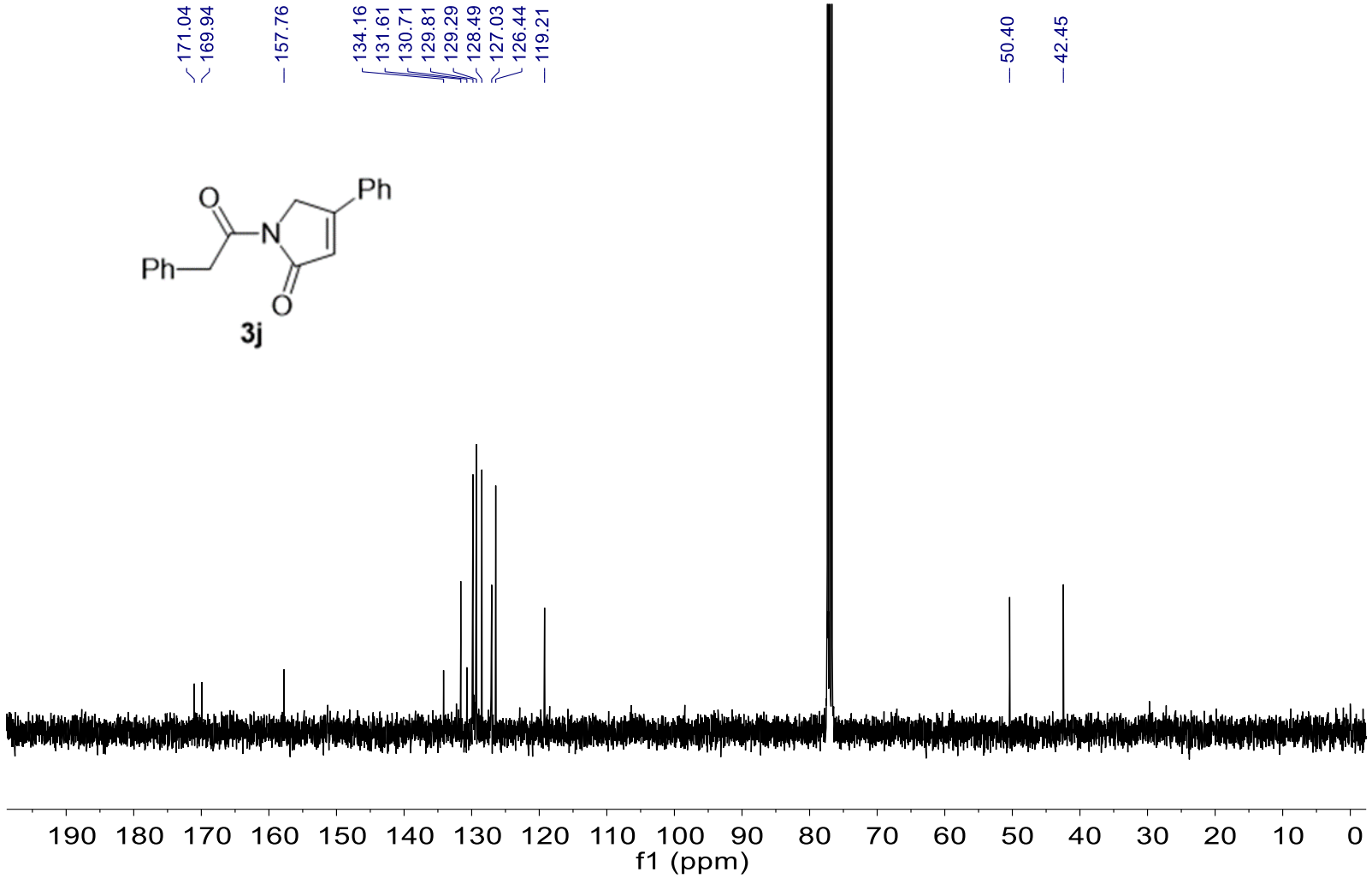

Figure S19. ^{13}C NMR spectrum of **3j** in $CDCl_3$ (150 MHz) at 23℃.

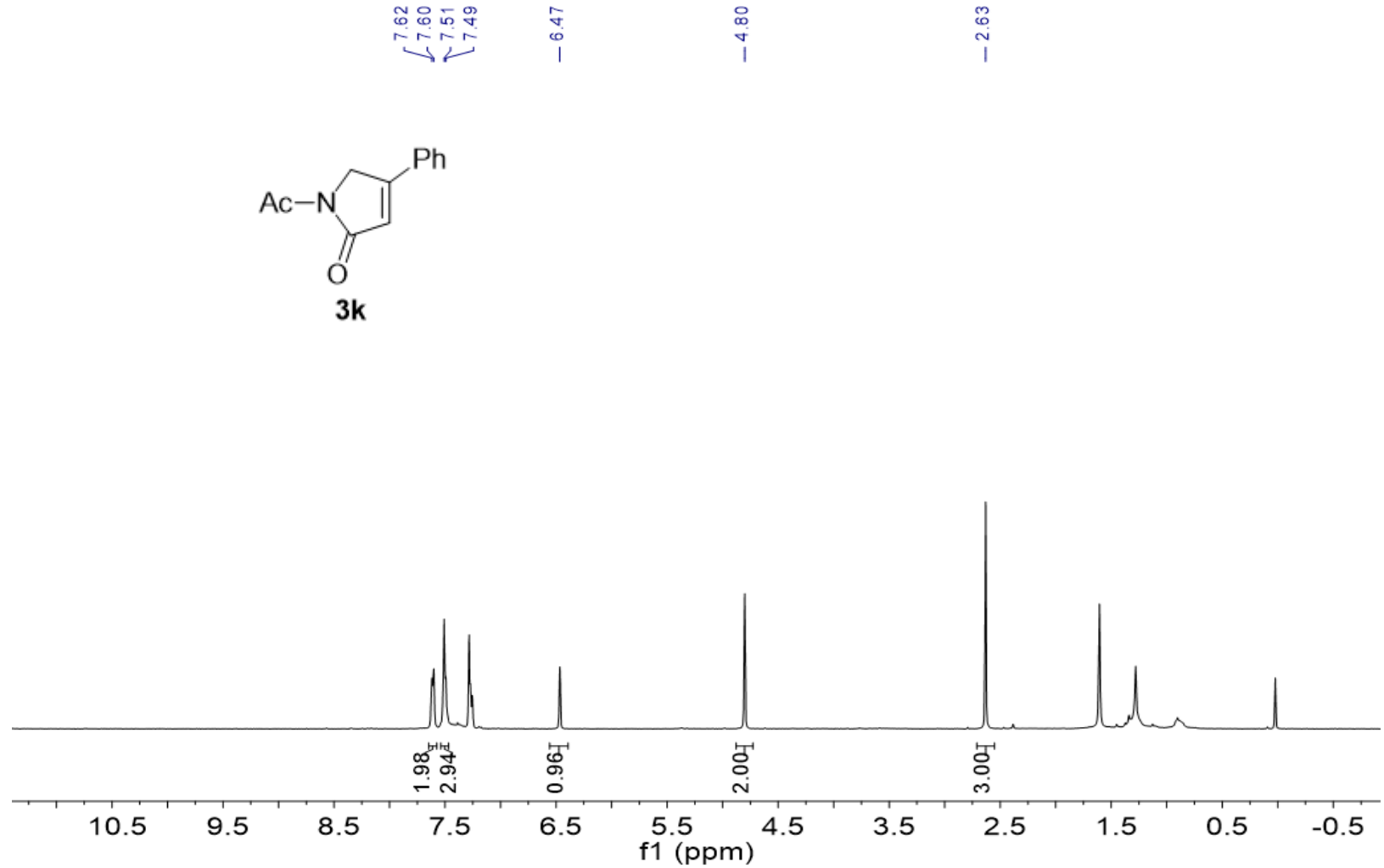

Figure S20. ^{1}H NMR spectrum of **3k** in $CDCl_3$ (400 MHz) at 23℃.

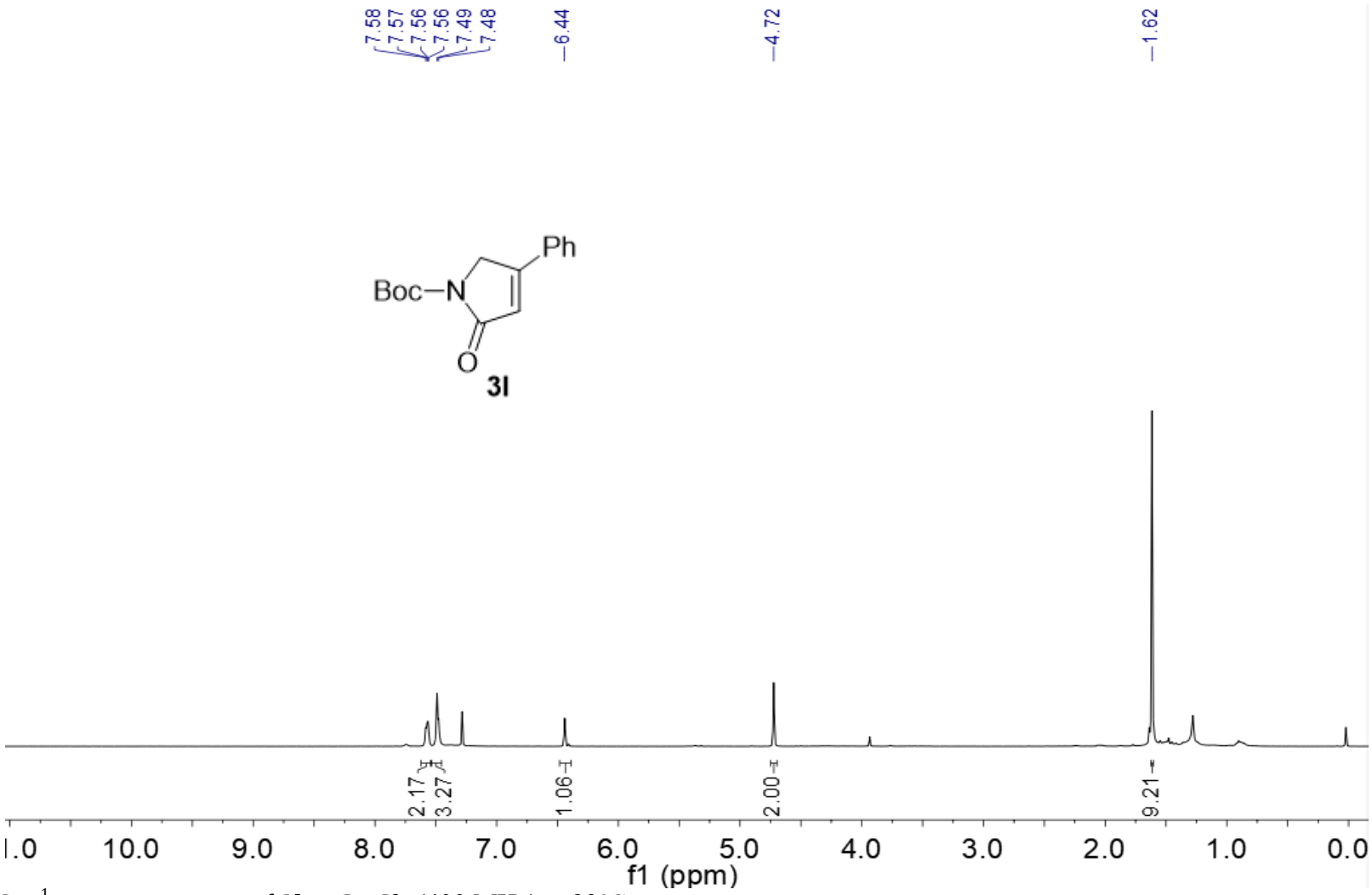

Figure S21. ^{1}H NMR spectrum of 3**l** in $CDCl_3$ (400 MHz) at 23℃.

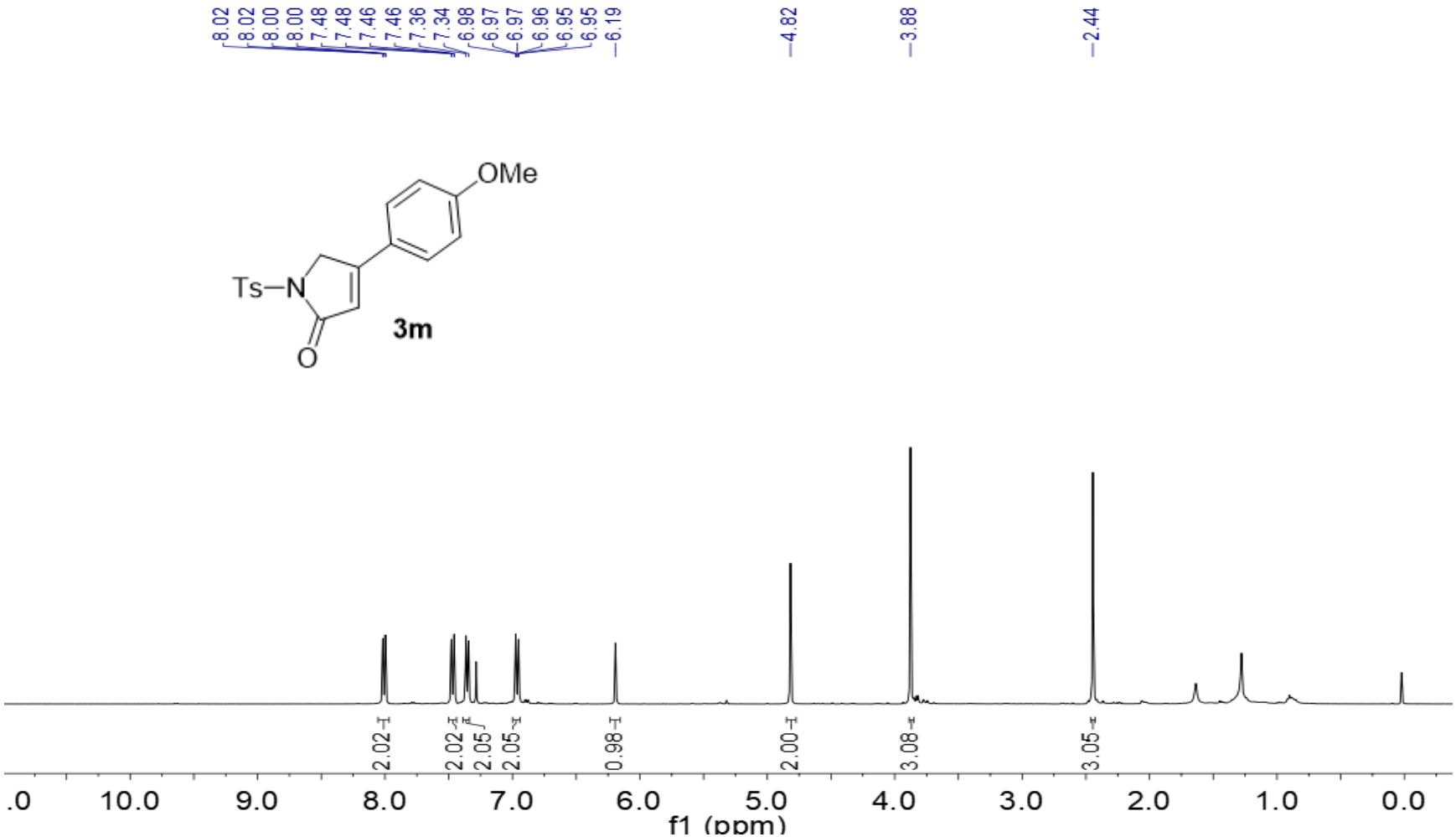

Figure S22. ^{1}H NMR spectrum of **3m** in $CDCl_3$ (400 MHz) at 23°C.

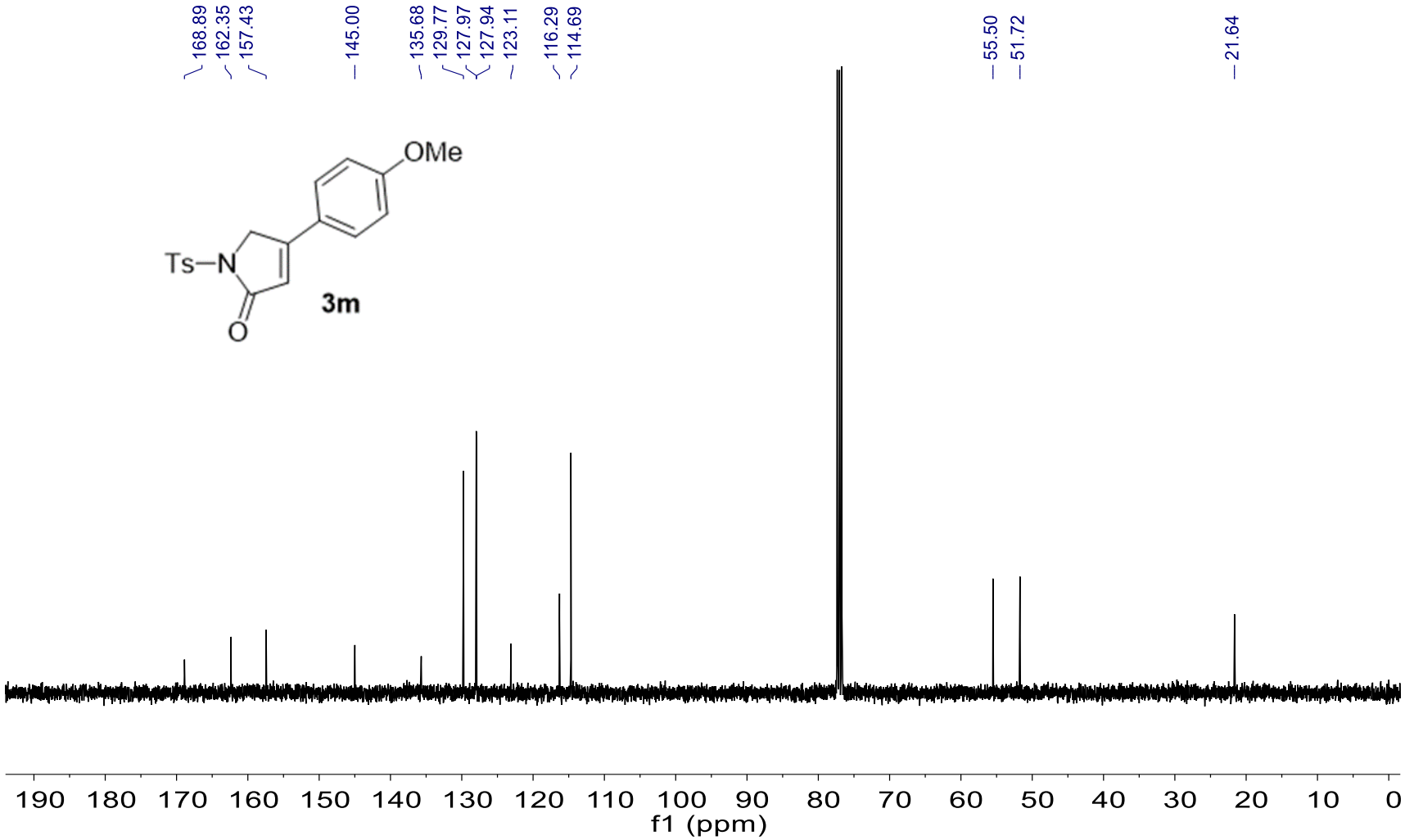

Figure S23. ^{13}C NMR spectrum of **3m** in $CDCl_3$ (100 MHz) at 23℃.

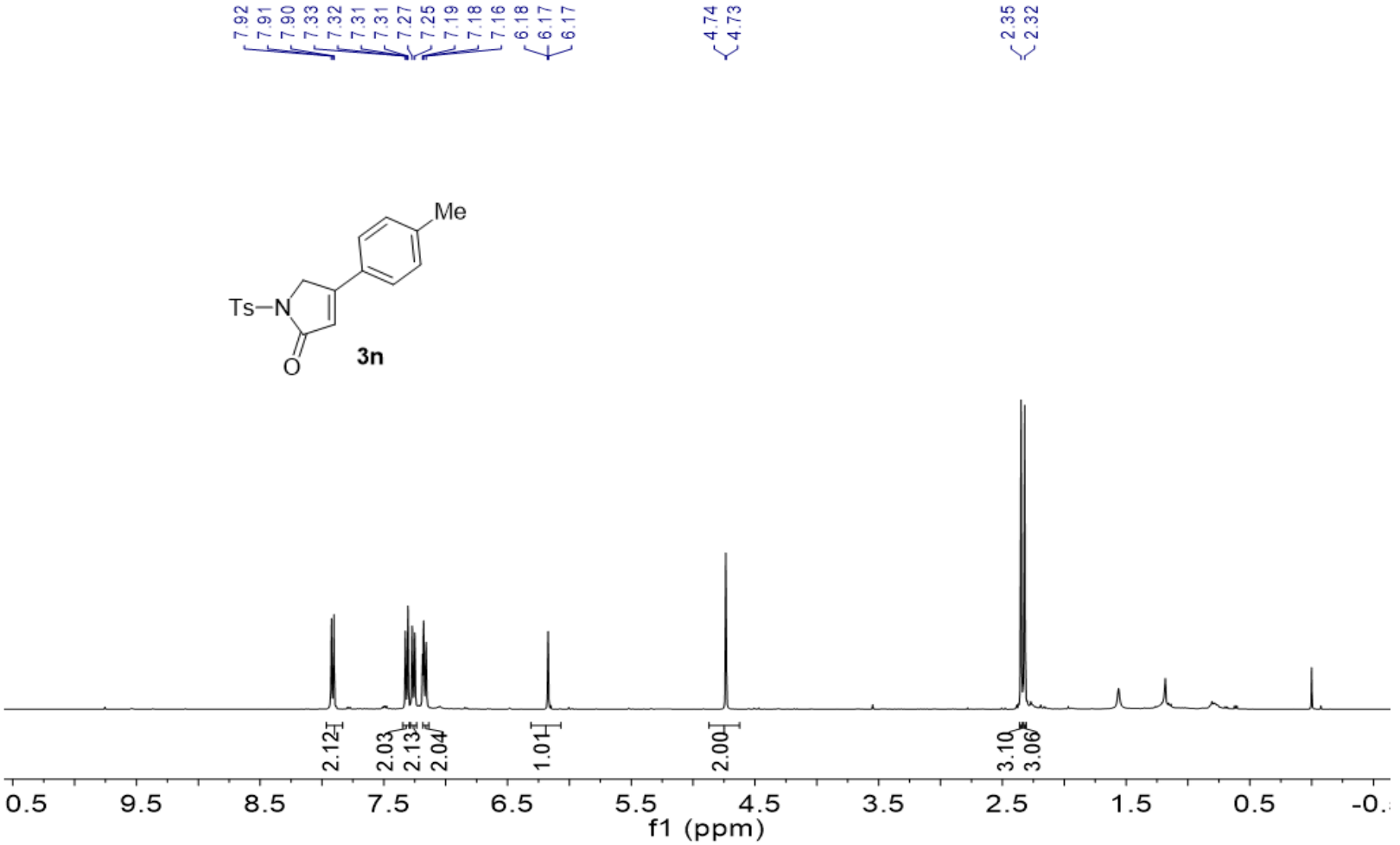

Figure S24. ^{1}H NMR spectrum of **3n** in $CDCl_3$ (400 MHz) at 23℃.

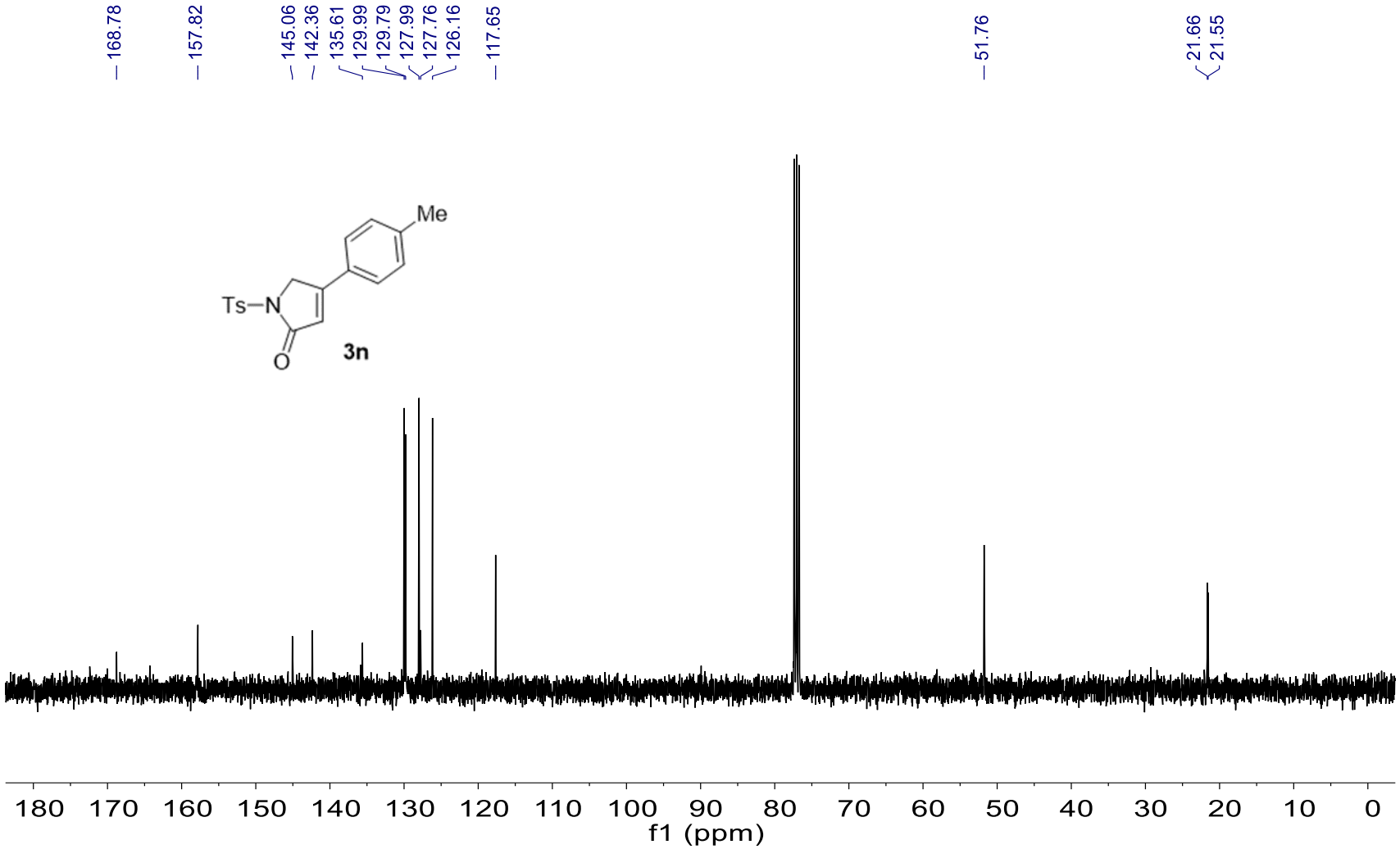

Figure S25. ^{13}C NMR spectrum of **3n** in $CDCl_3$ (100 MHz) at 23°C.

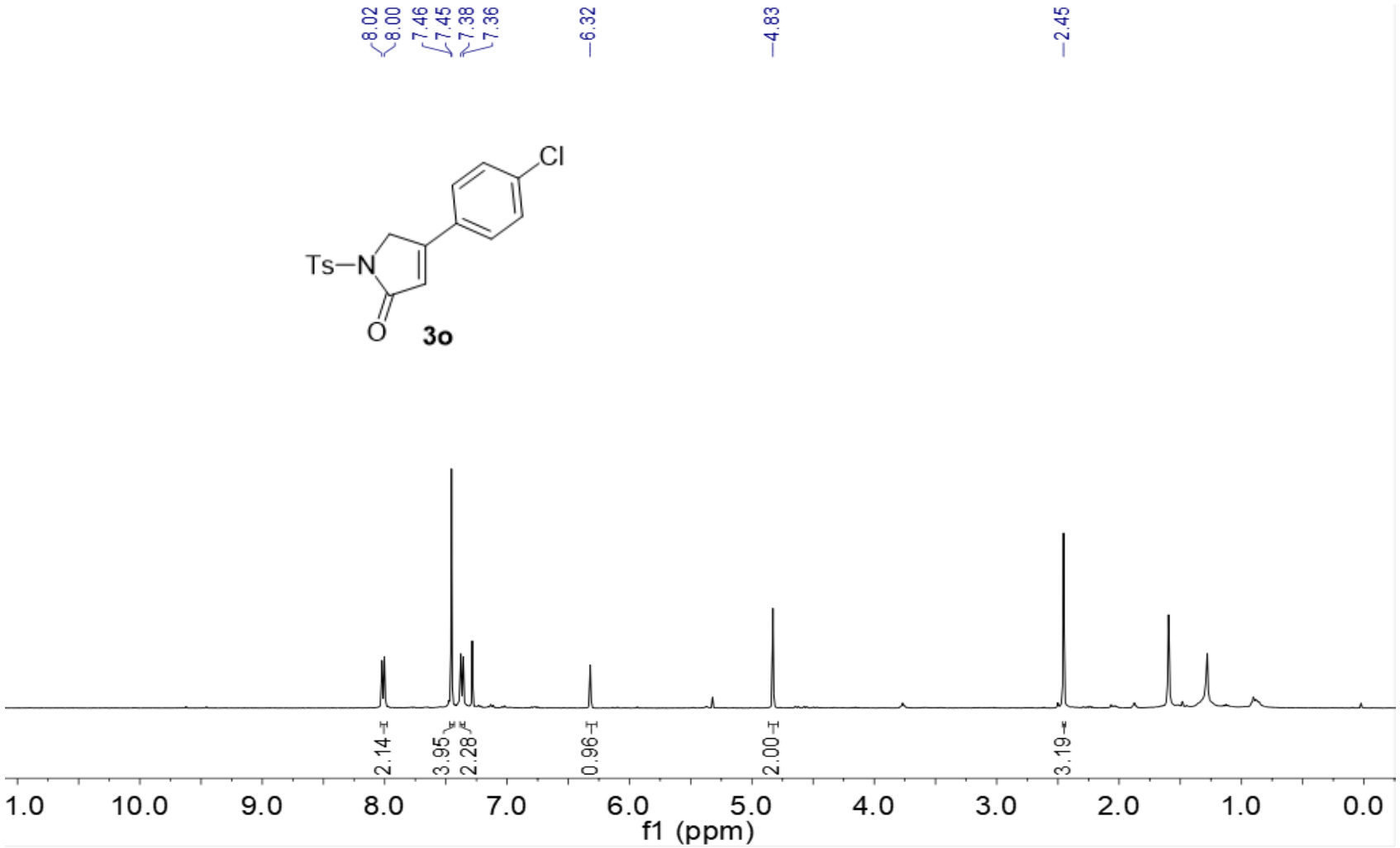

Figure S26. ^{1}H NMR spectrum of **3o** in $CDCl_3$ (400 MHz) at 23℃.

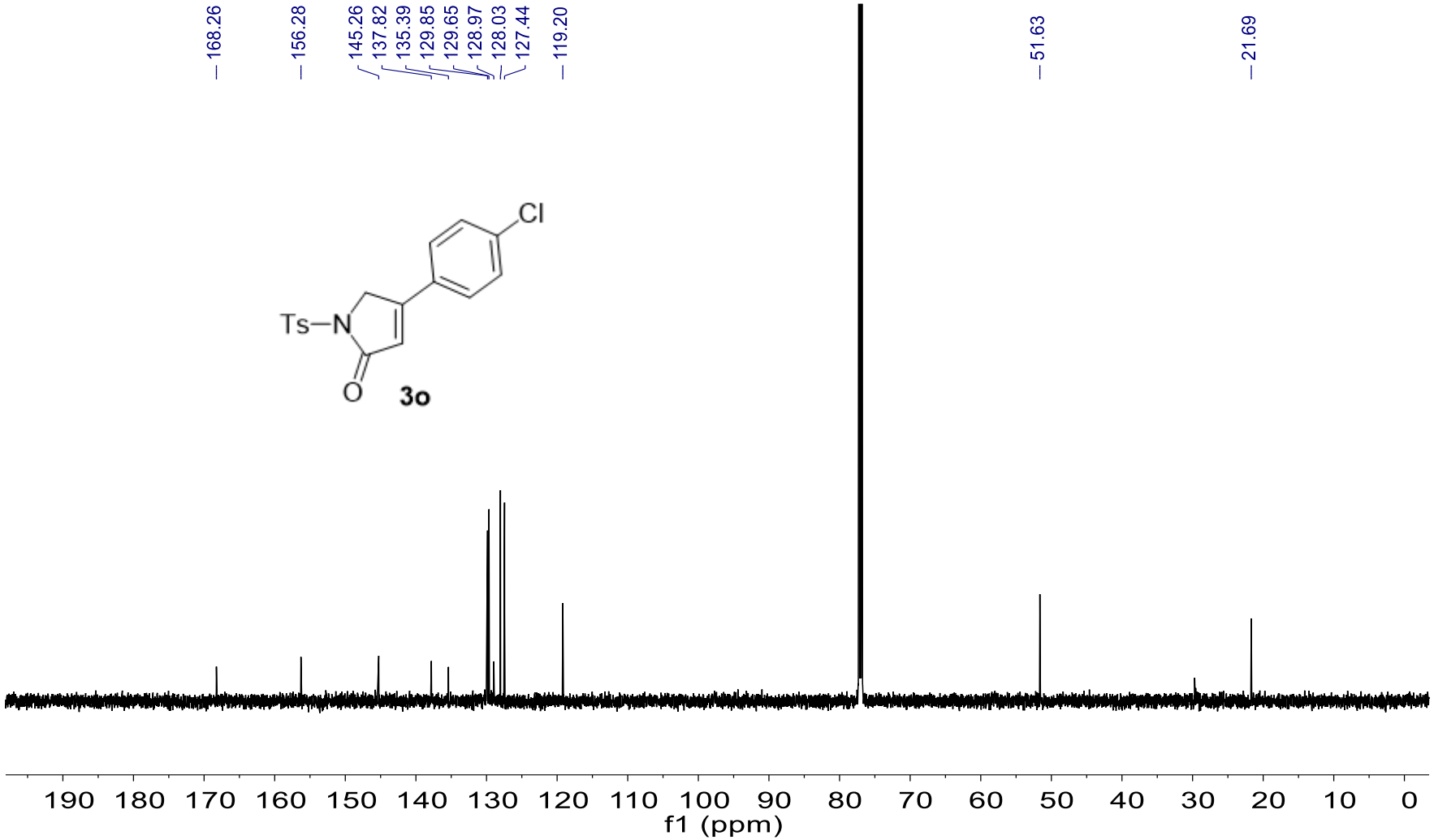

Figure S27. ^{13}C NMR spectrum of **3o** in $CDCl_3$ (150 MHz) at 23°C.

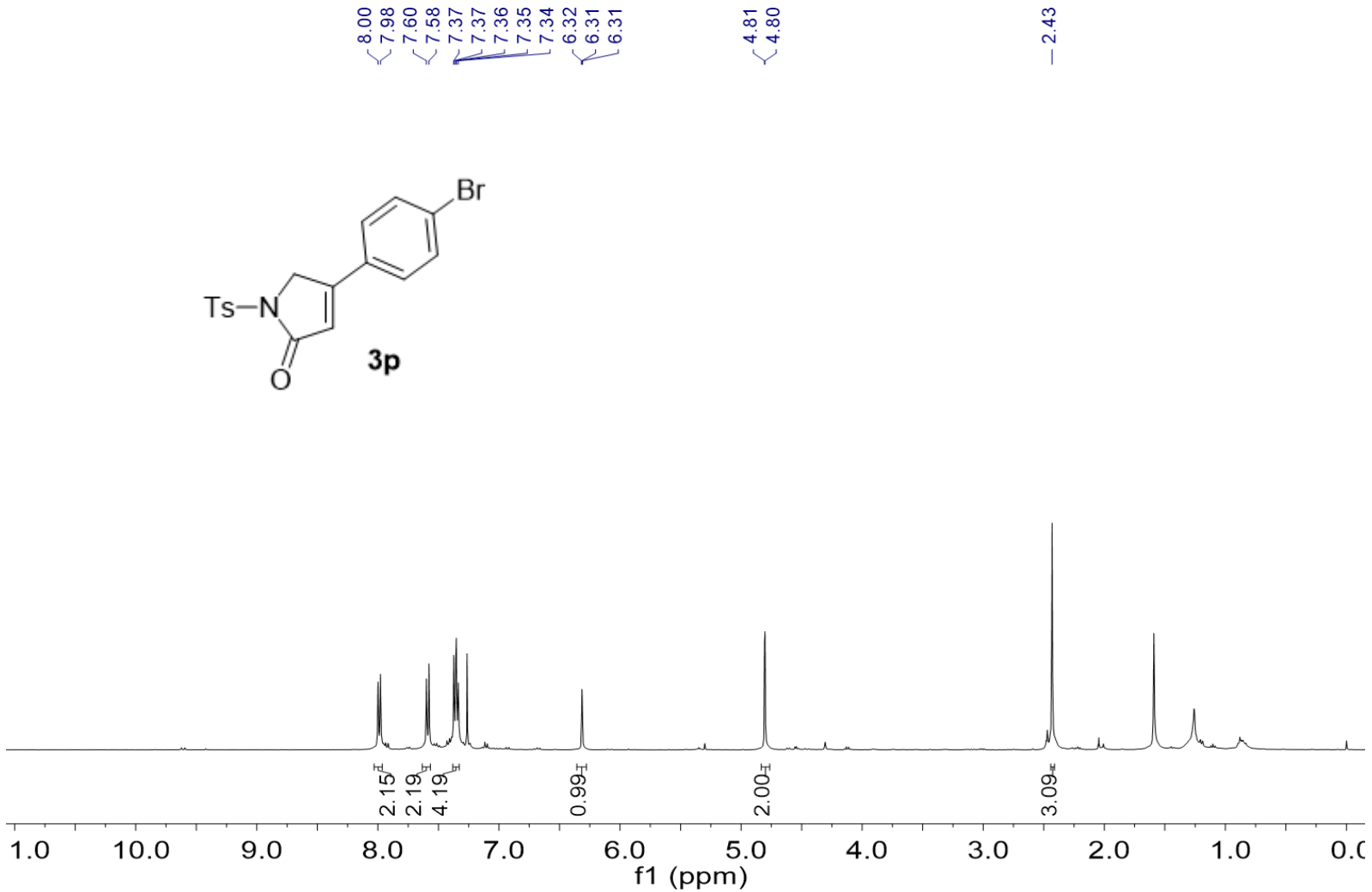

Figure S28. ^{1}H NMR spectrum of **3p** in $CDCl_3$ (400 MHz) at 23℃.

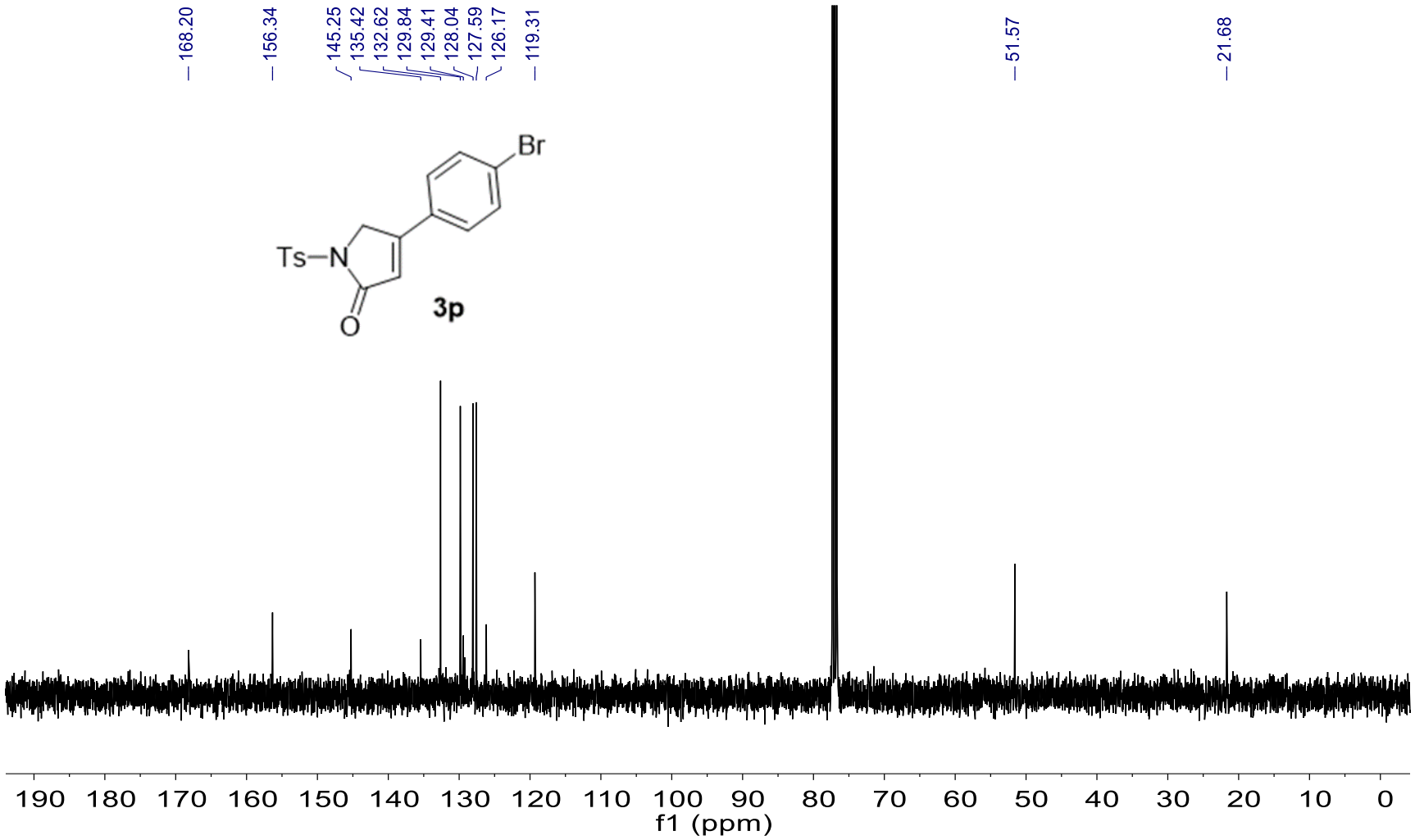

Figure S29. ^{13}C NMR spectrum of **3p** in $CDCl_3$ (100 MHz) at 23°C.

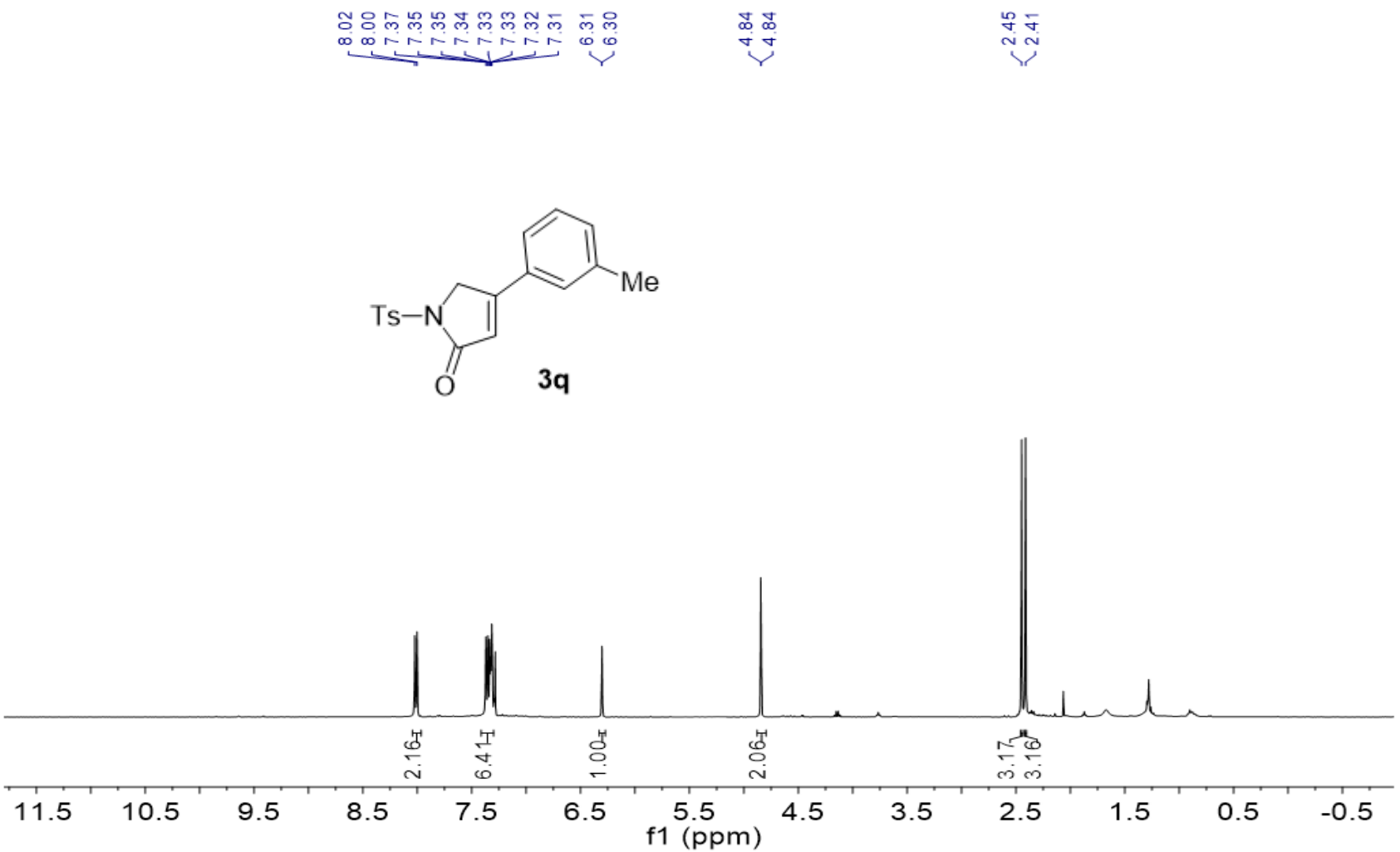

Figure S30. ^{1}H NMR spectrum of **3q** in $CDCl_3$ (400 MHz) at 23℃.

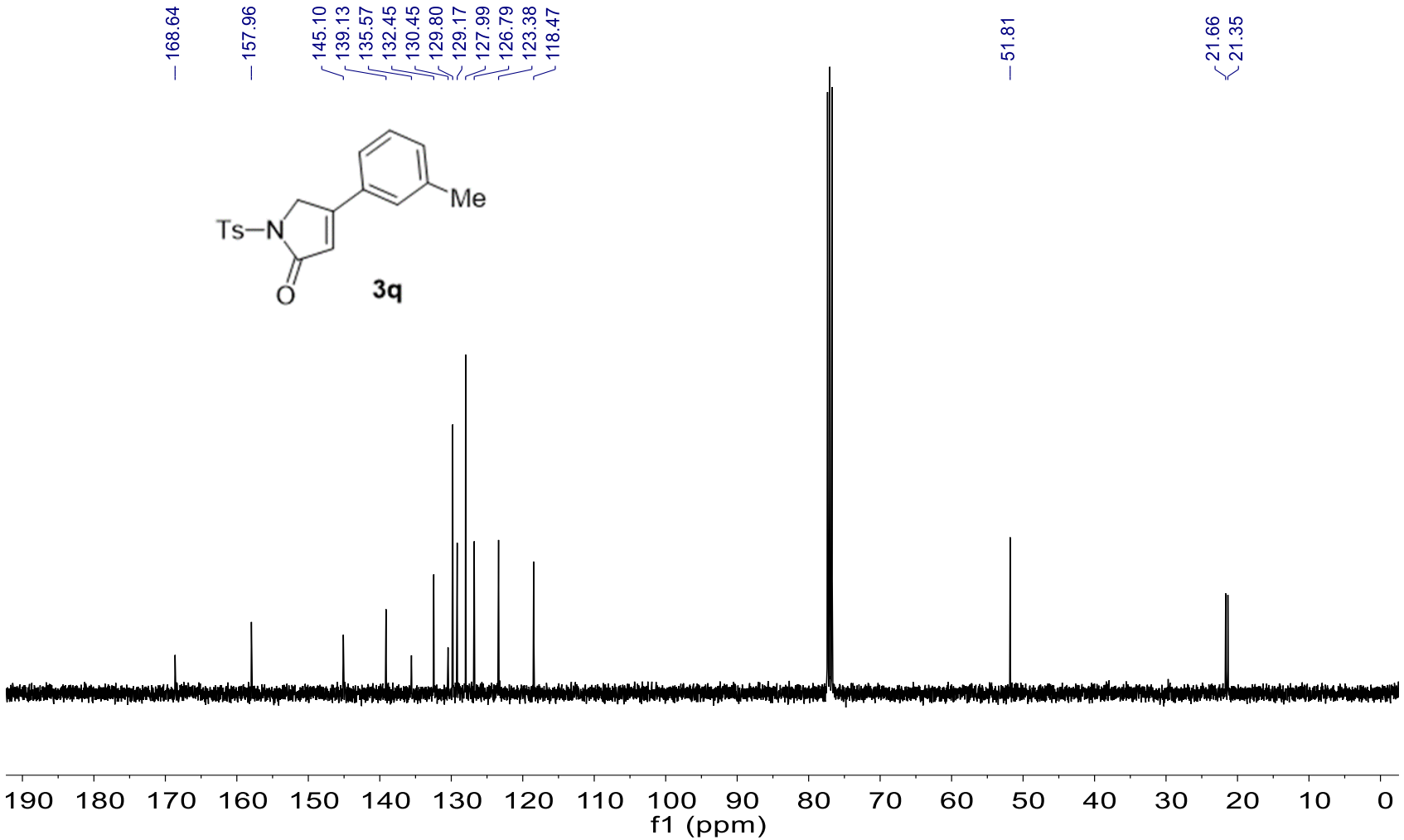

Figure S31. ^{13}C NMR spectrum of **3q** in $CDCl_3$ (100 MHz) at 23°C.

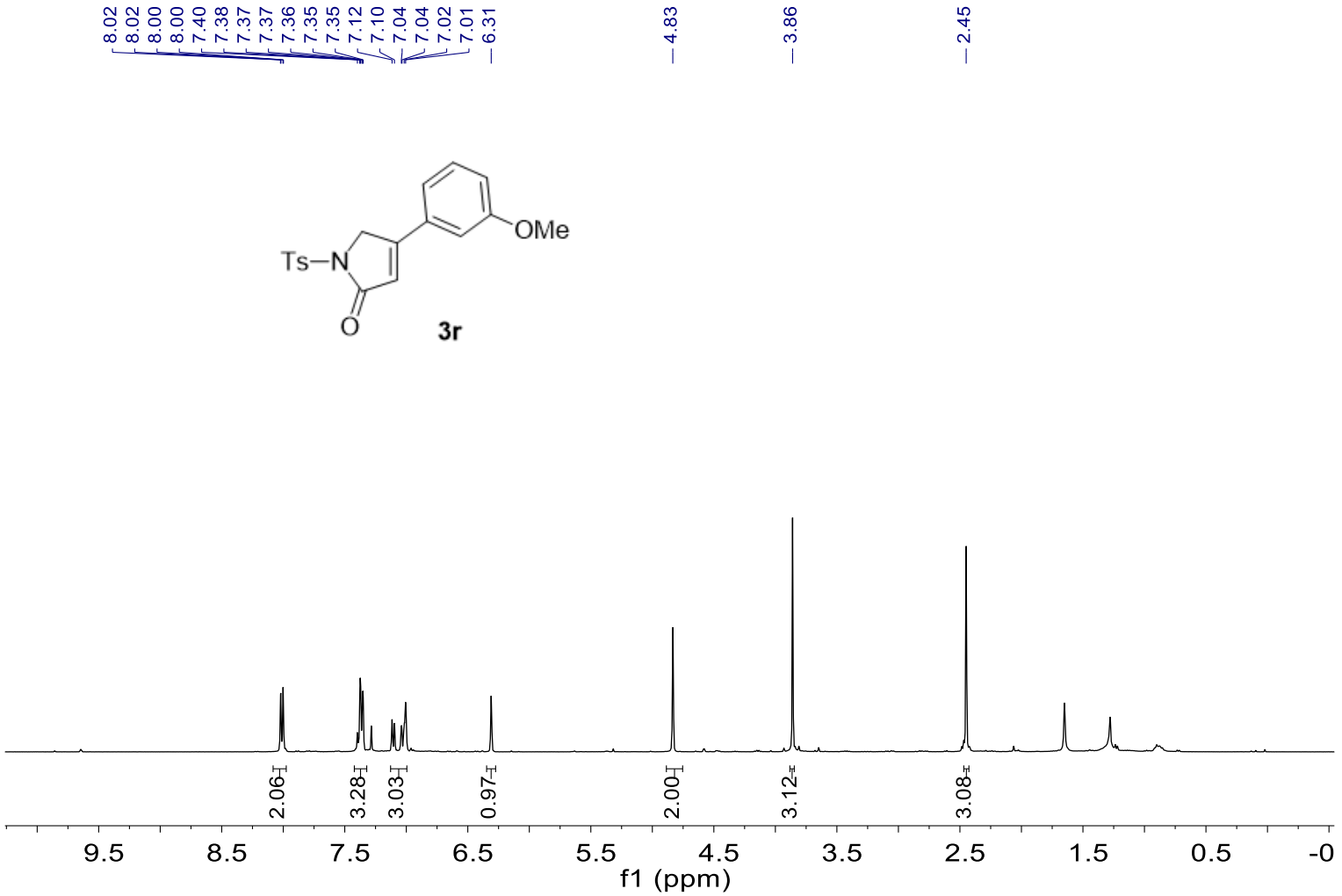

Figure S32. ^{1}H NMR spectrum of **3r** in $CDCl_3$ (400 MHz) at 23°C.

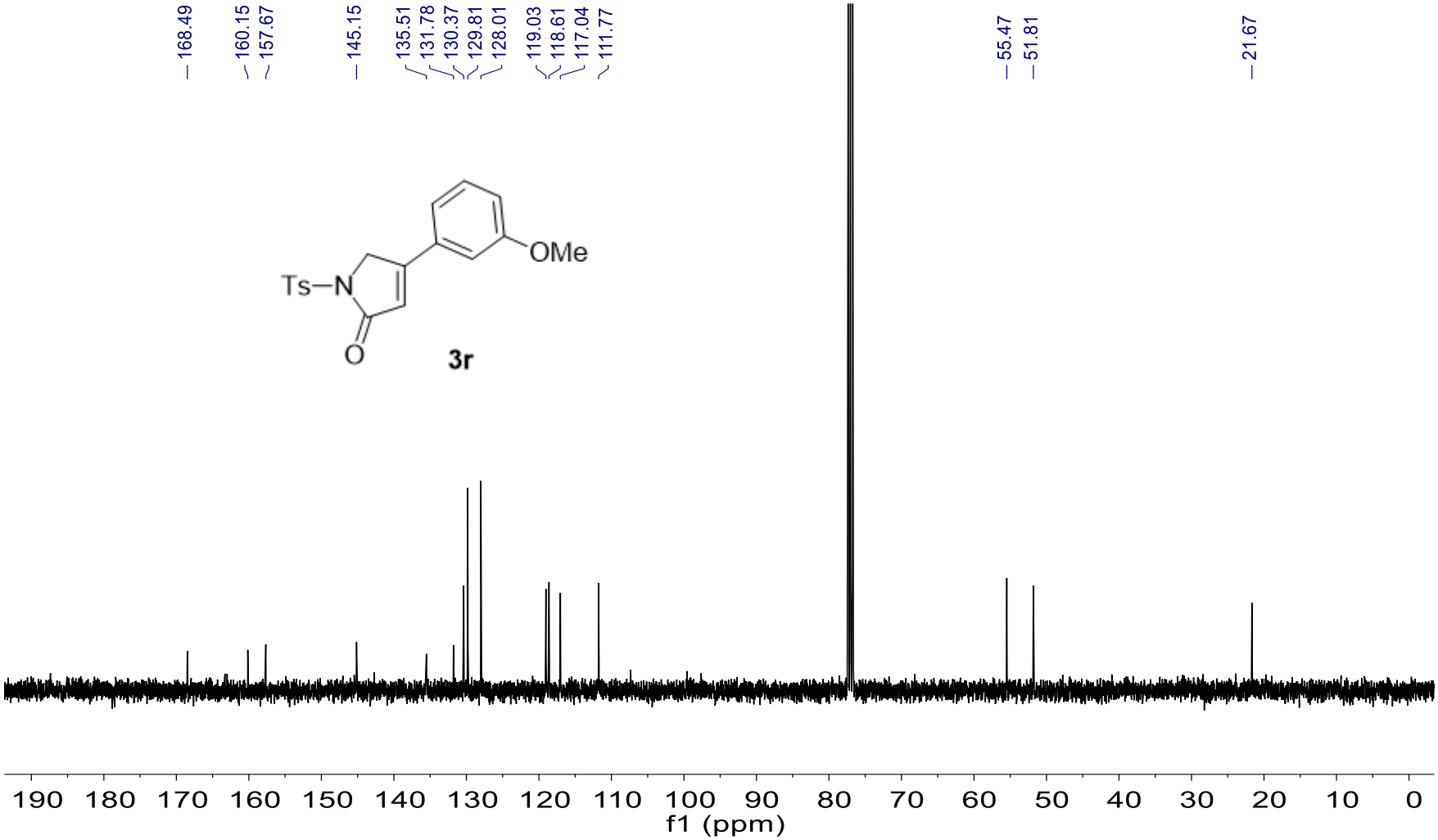

Figure S33. ^{13}C NMR spectrum of **3r** in $CDCl_3$ (100 MHz) at 23℃.

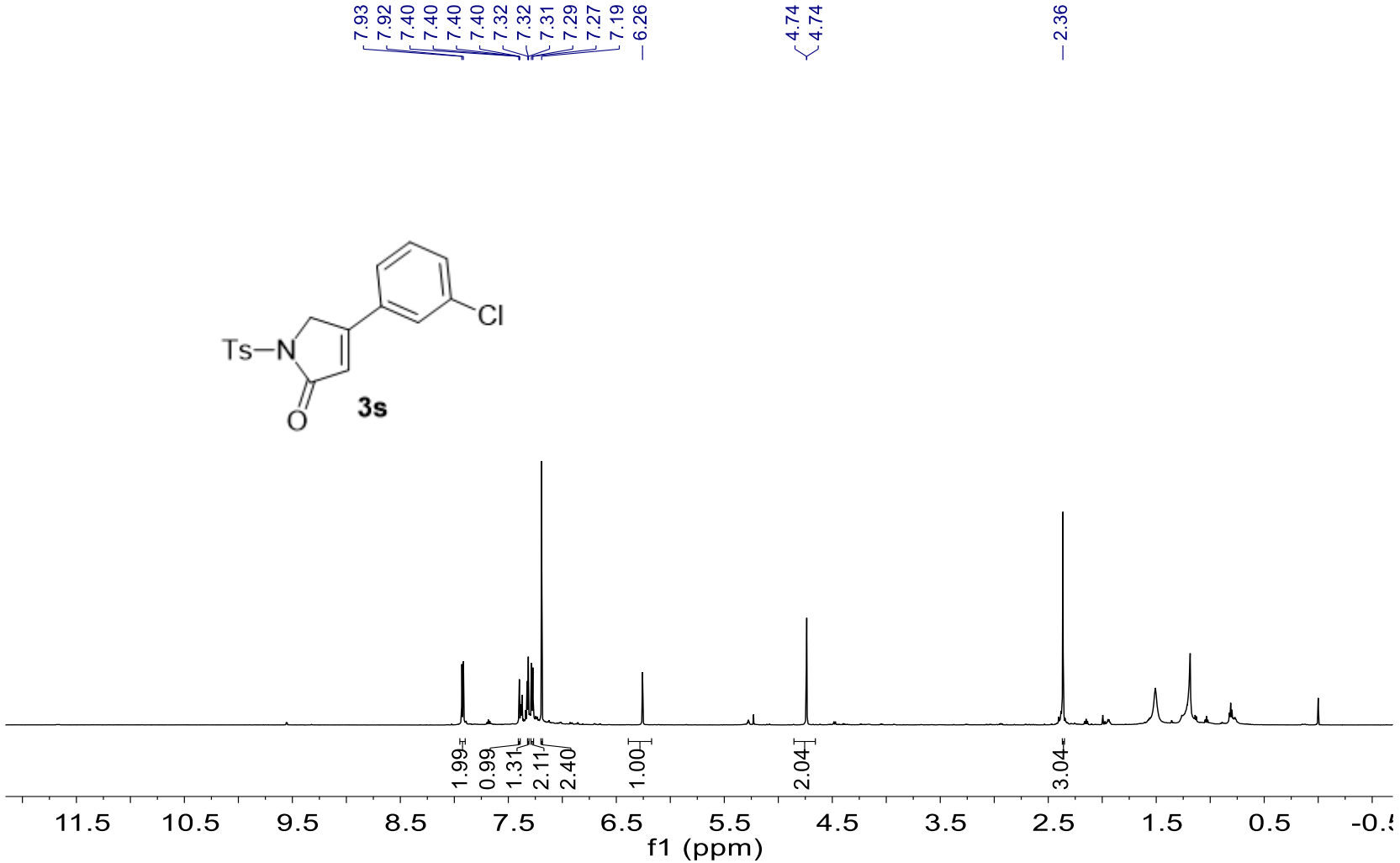

Figure S34. ^{1}H NMR spectrum of **3s** in $CDCl_3$ (400 MHz) at 23°C.

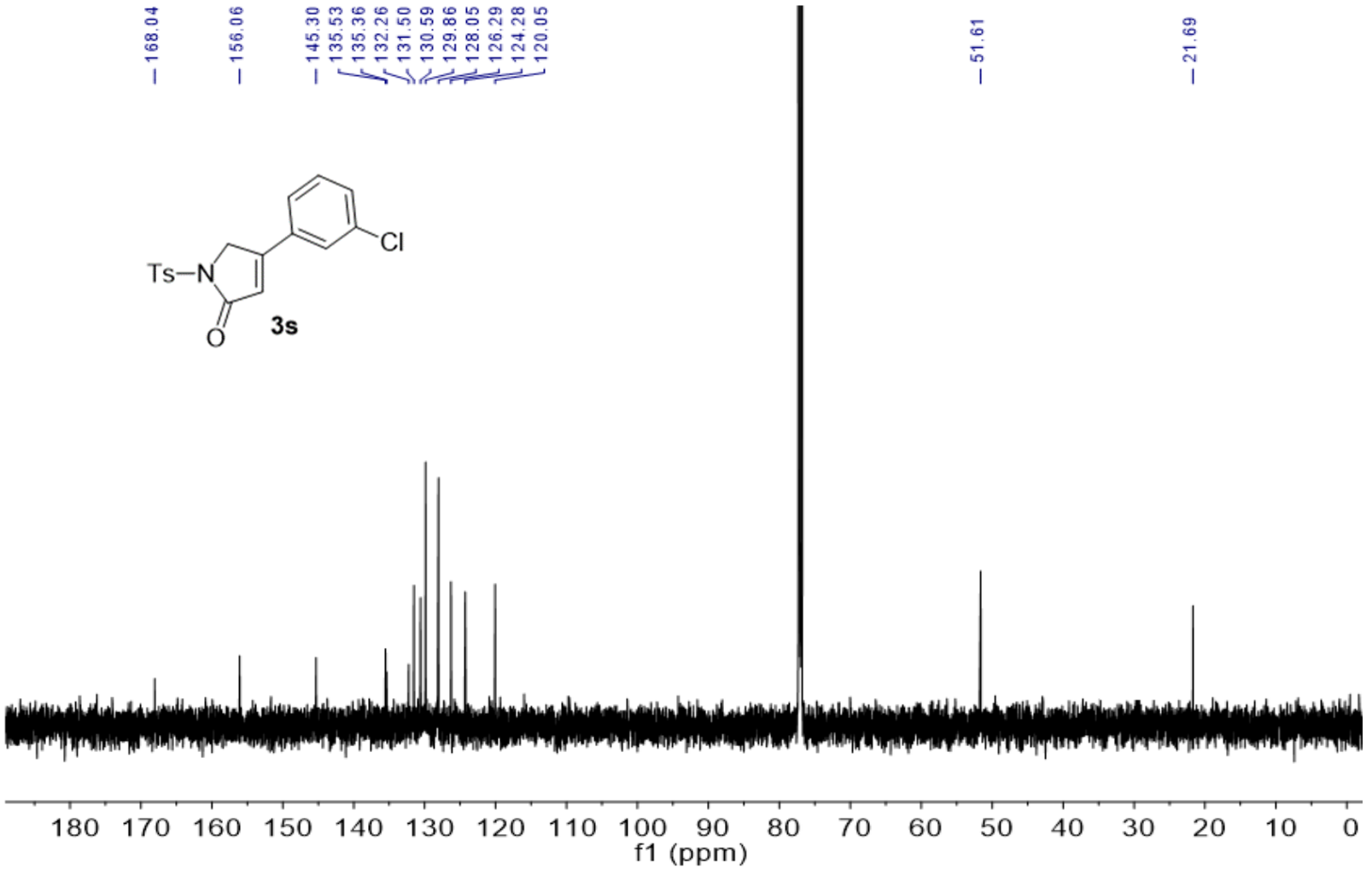

Figure S35. ^{13}C NMR spectrum of **3s** in $CDCl_3$ (150 MHz) at 23℃.

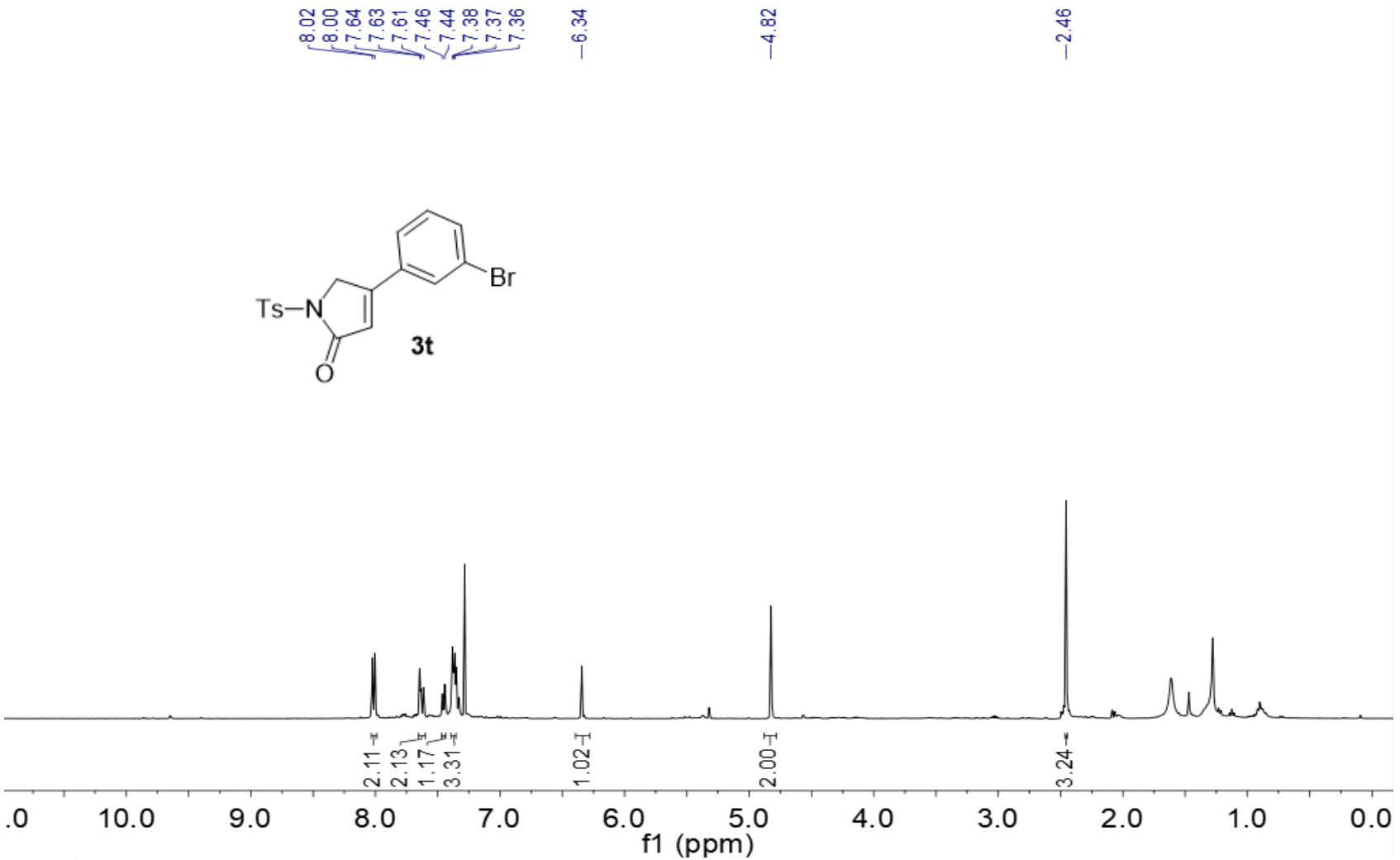

Figure S36. ^{1}H NMR spectrum of **3t** in $CDCl_3$ (400 MHz) at 23℃.

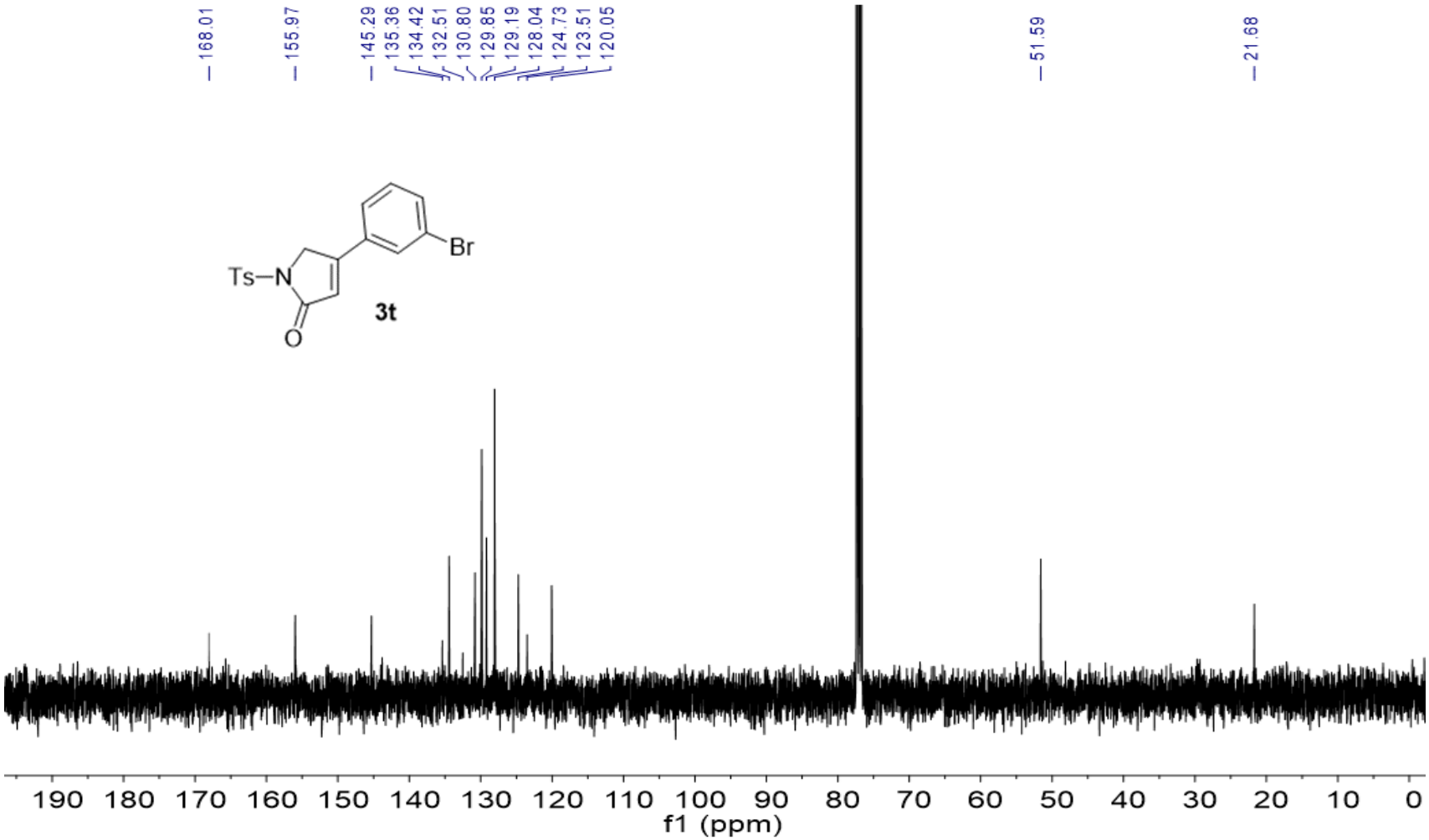

Figure S37. ^{13}C NMR spectrum of **3t** in $CDCl_3$ (100 MHz) at 23℃.

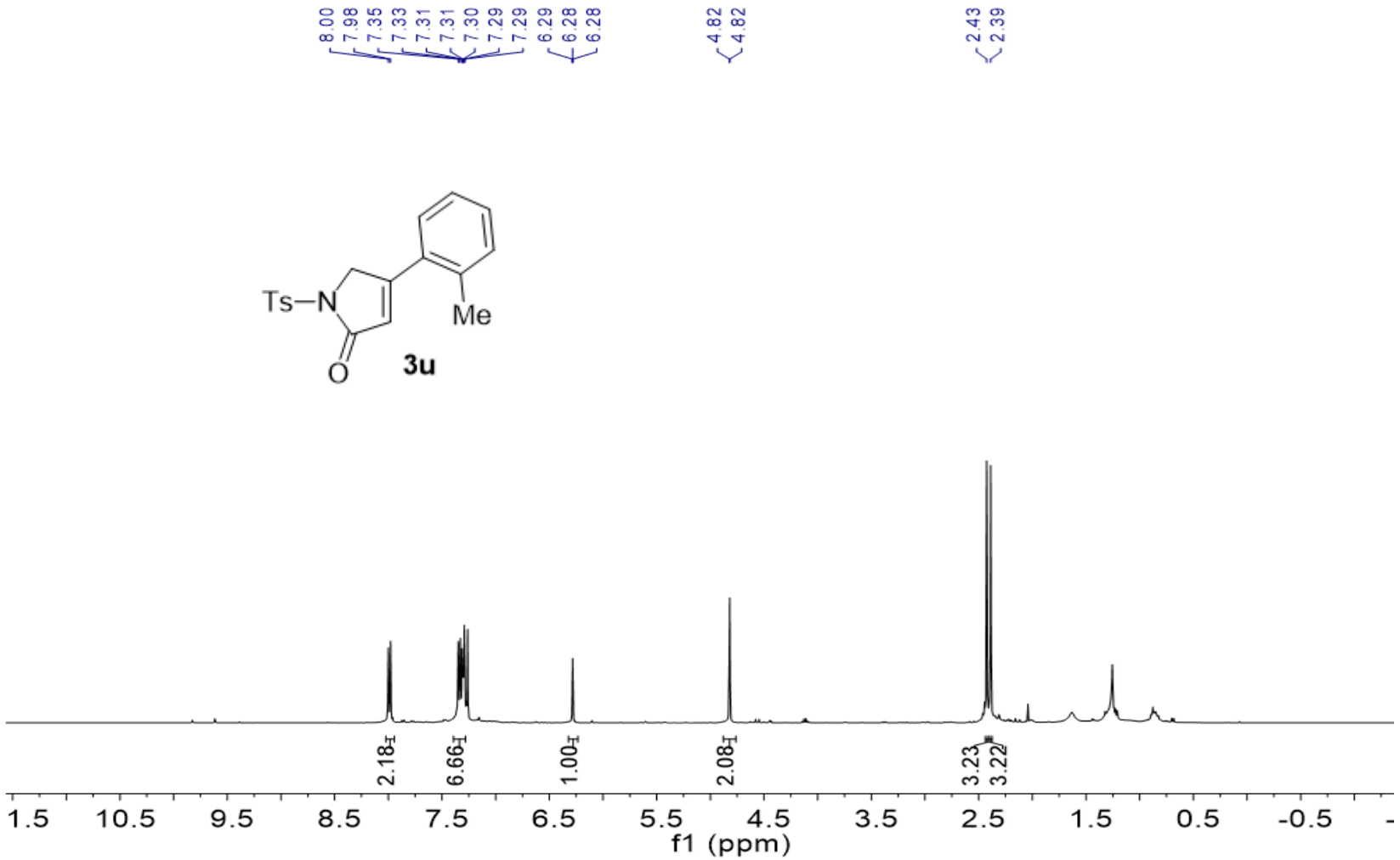

Figure S38. ^{1}H NMR spectrum of **3u** in $CDCl_3$ (400 MHz) at 23℃.

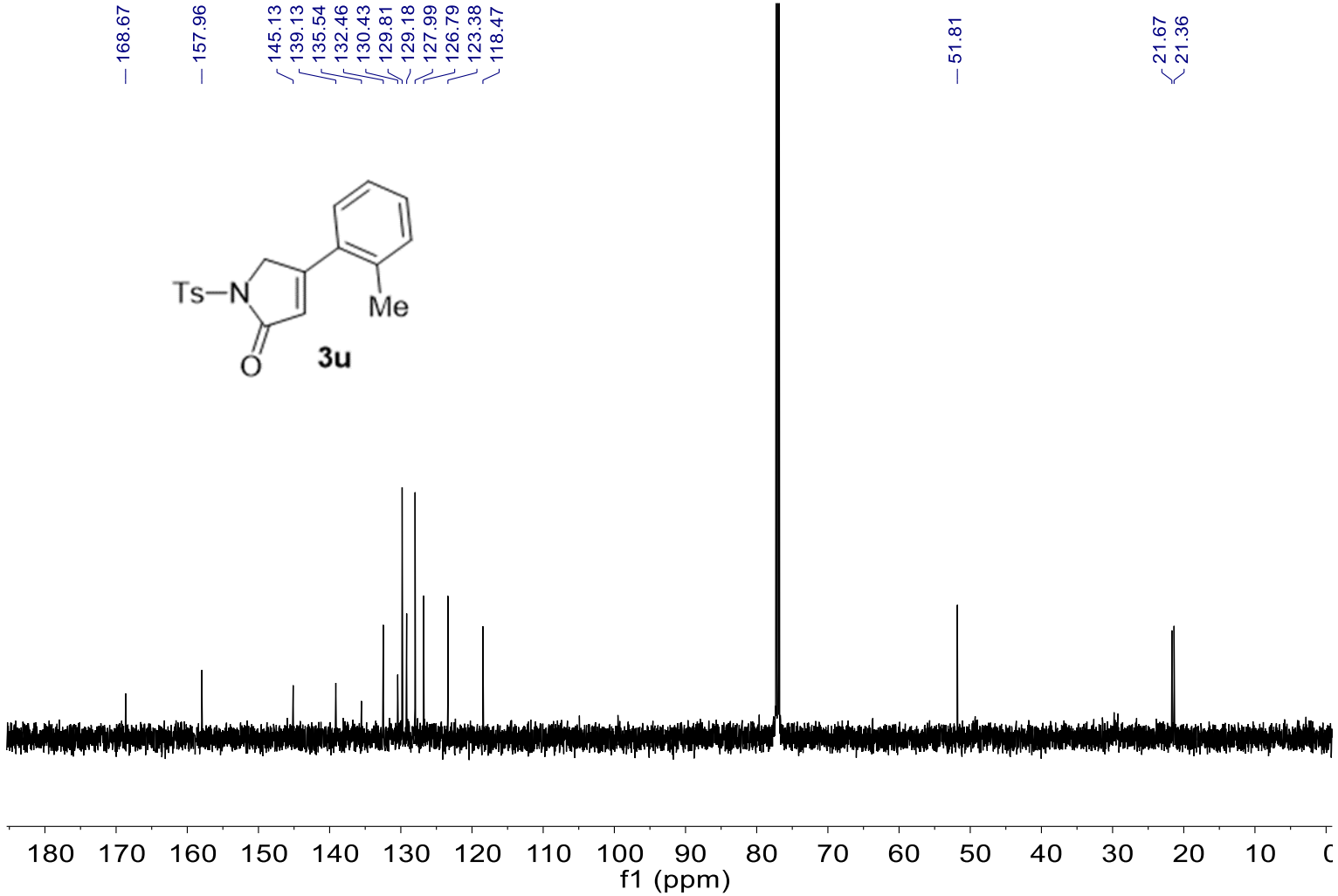

Figure S39. ^{13}C NMR spectrum of **3u** in $CDCl_3$ (150 MHz) at 23°C.

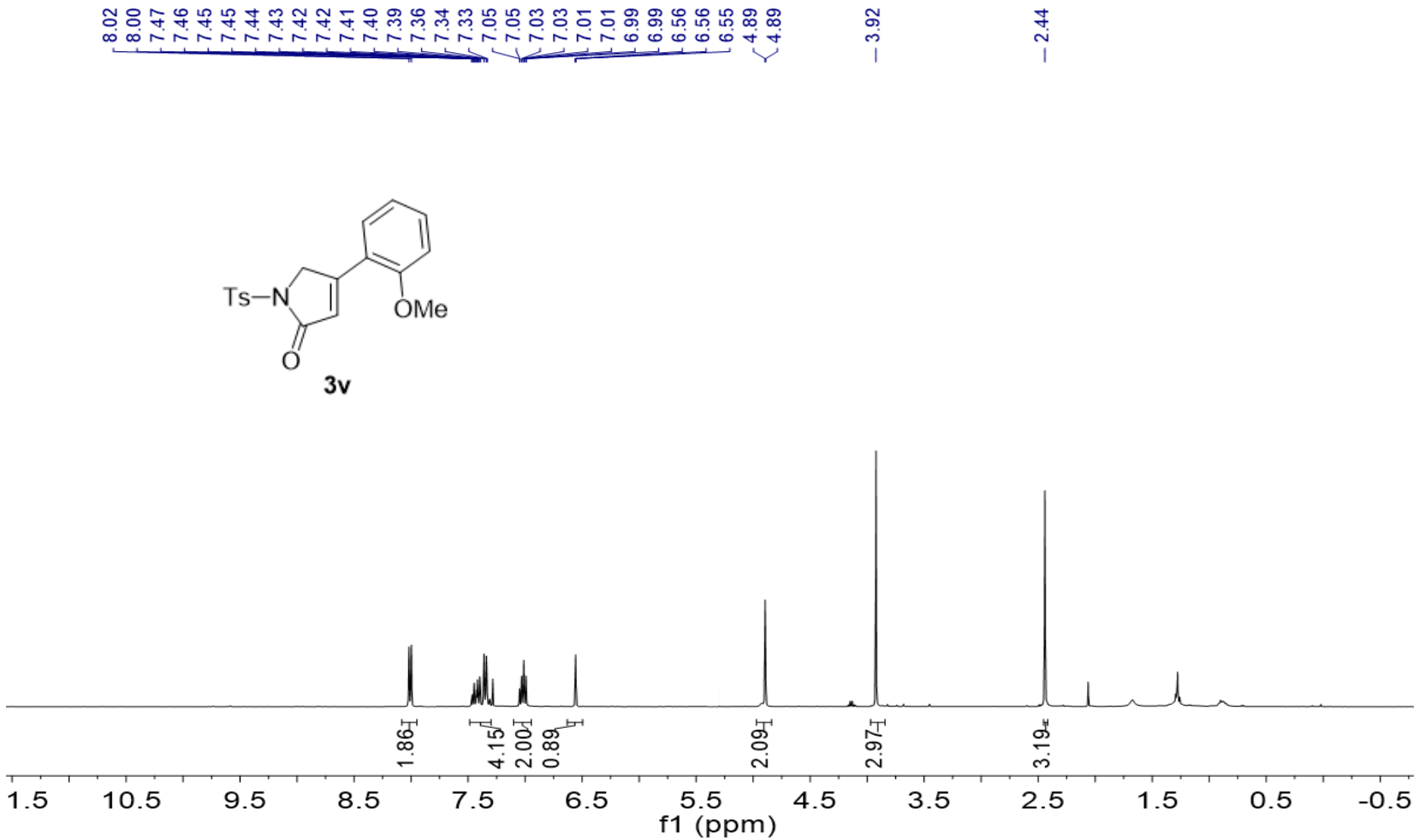

Figure S40. ^{1}H NMR spectrum of **3v** in $CDCl_3$ (400 MHz) at 23℃.

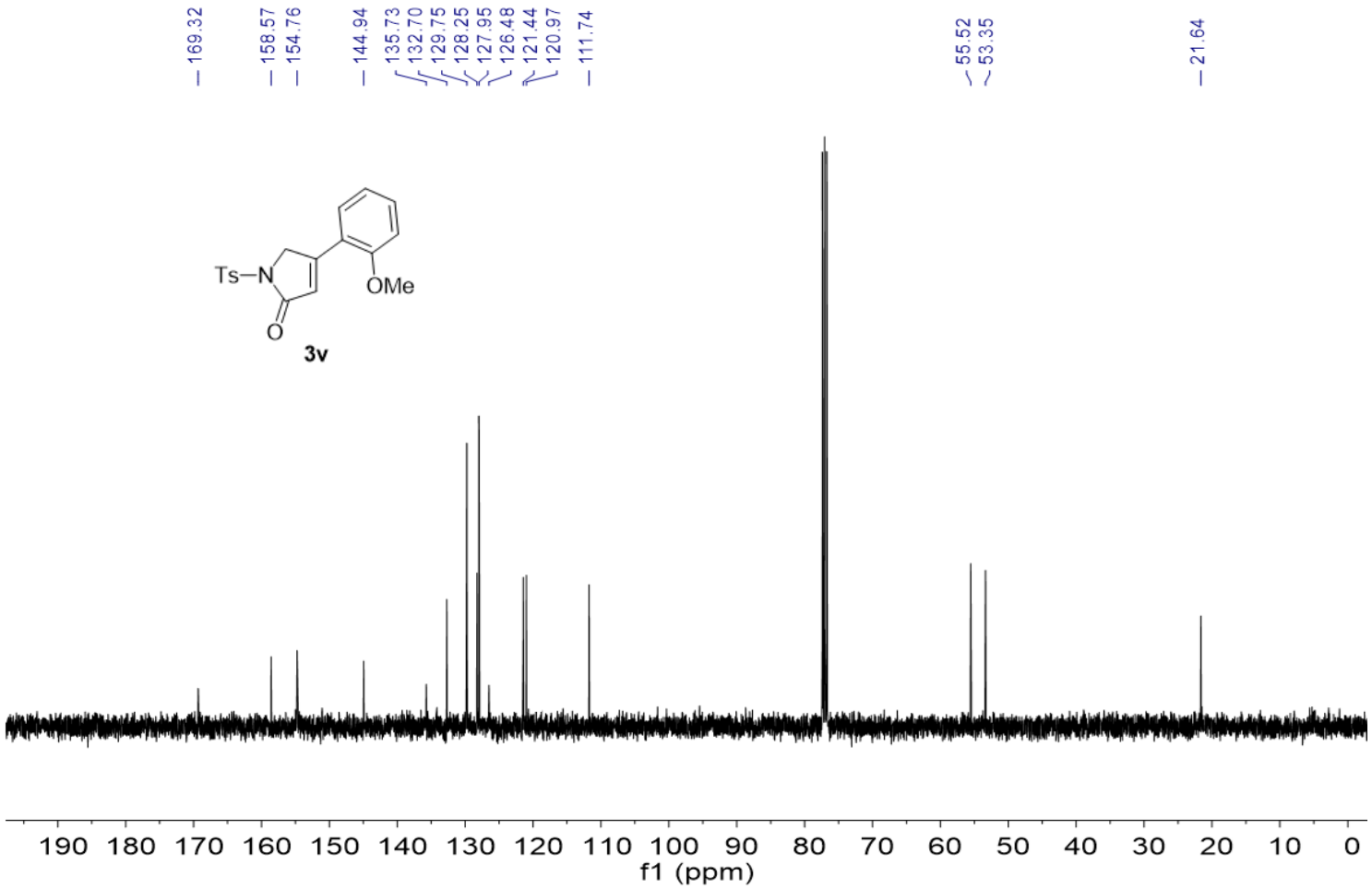

Figure S41. ^{13}C NMR spectrum of **3v** in $CDCl_3$ (100 MHz) at 23℃.

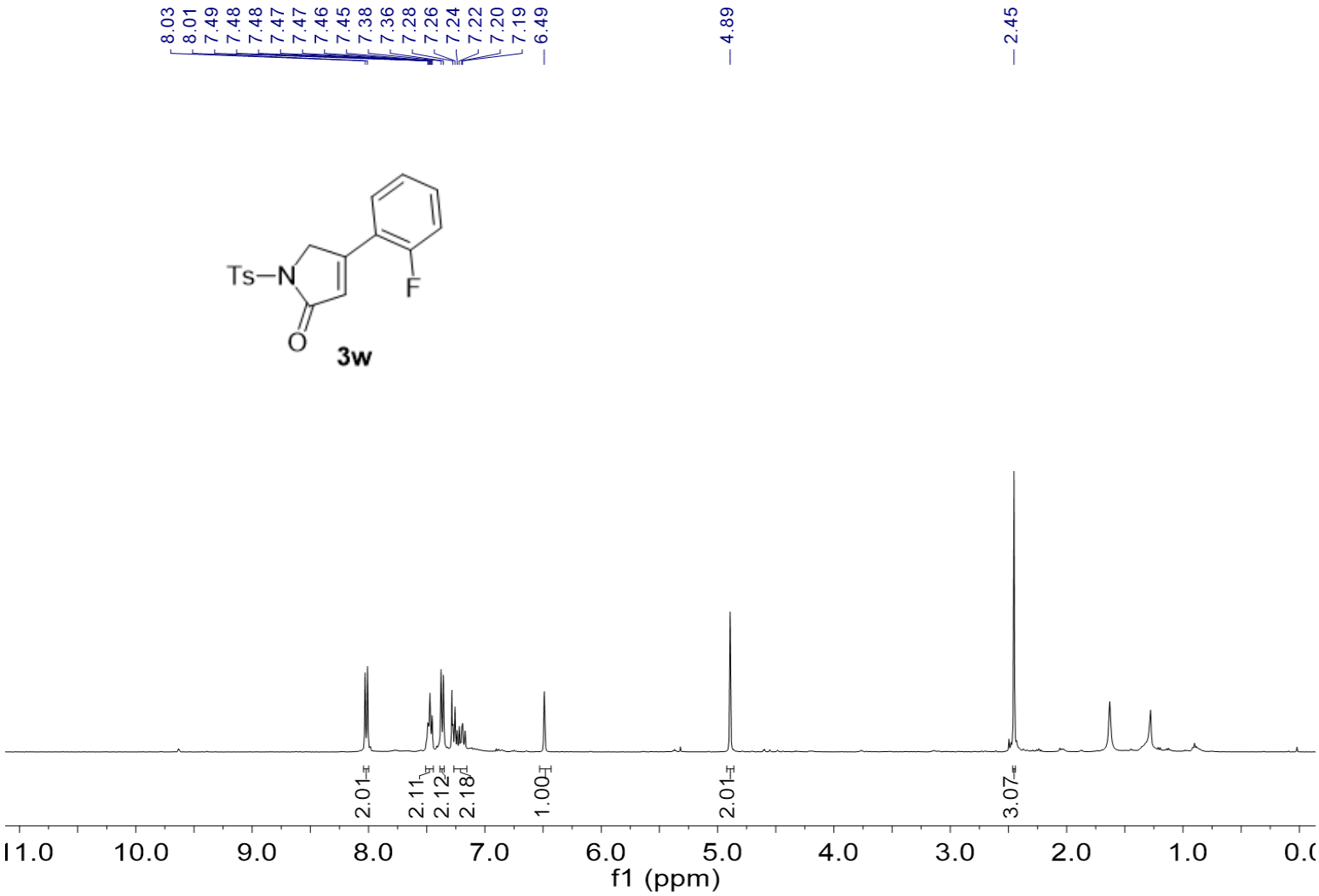

Figure S42. ^{1}H NMR spectrum of **3w** in $CDCl_3$ (400 MHz) at 23℃.

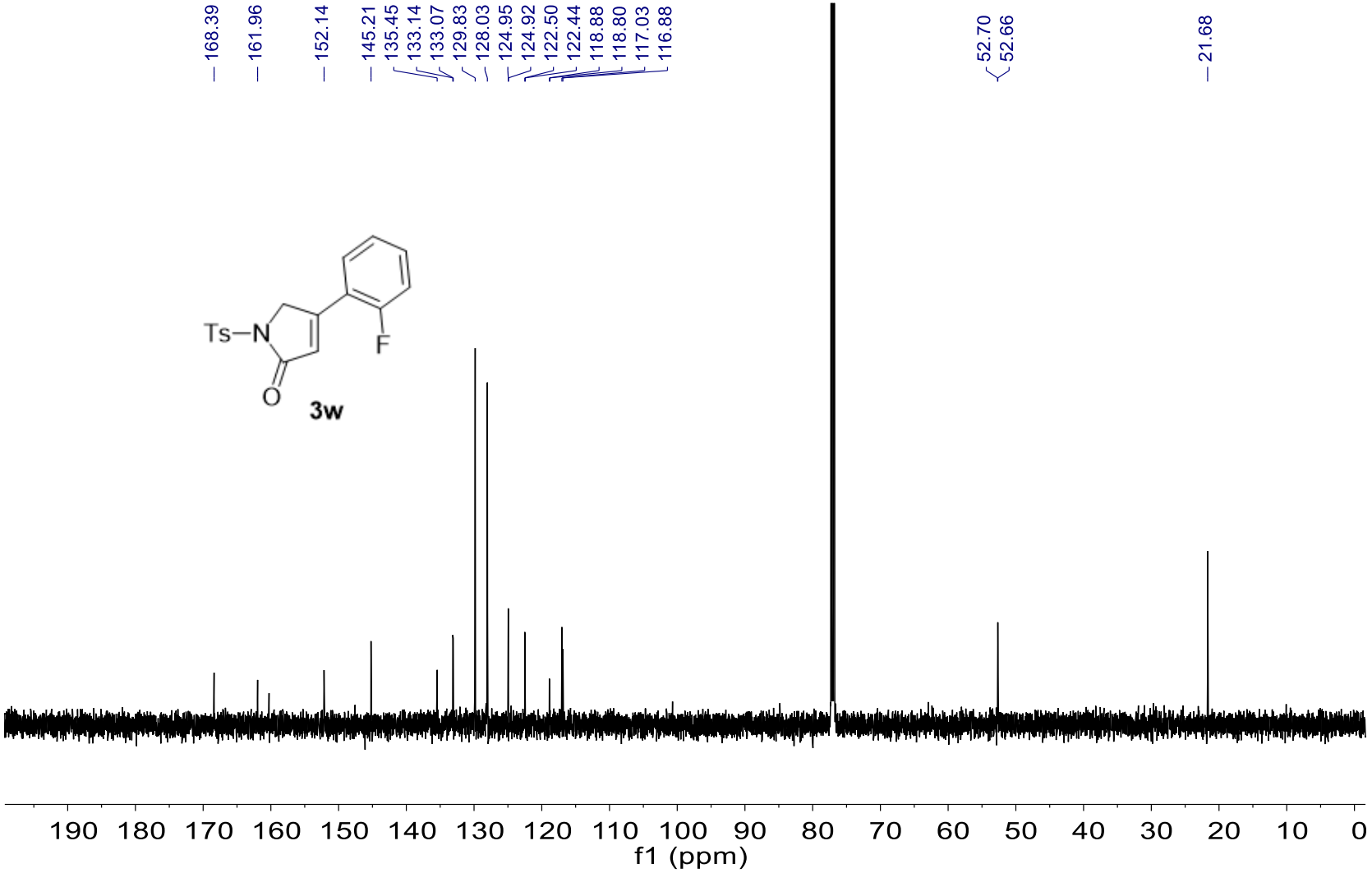

Figure S43. ^{13}C NMR spectrum of **3w** in $CDCl_3$ (150 MHz) at 23℃.

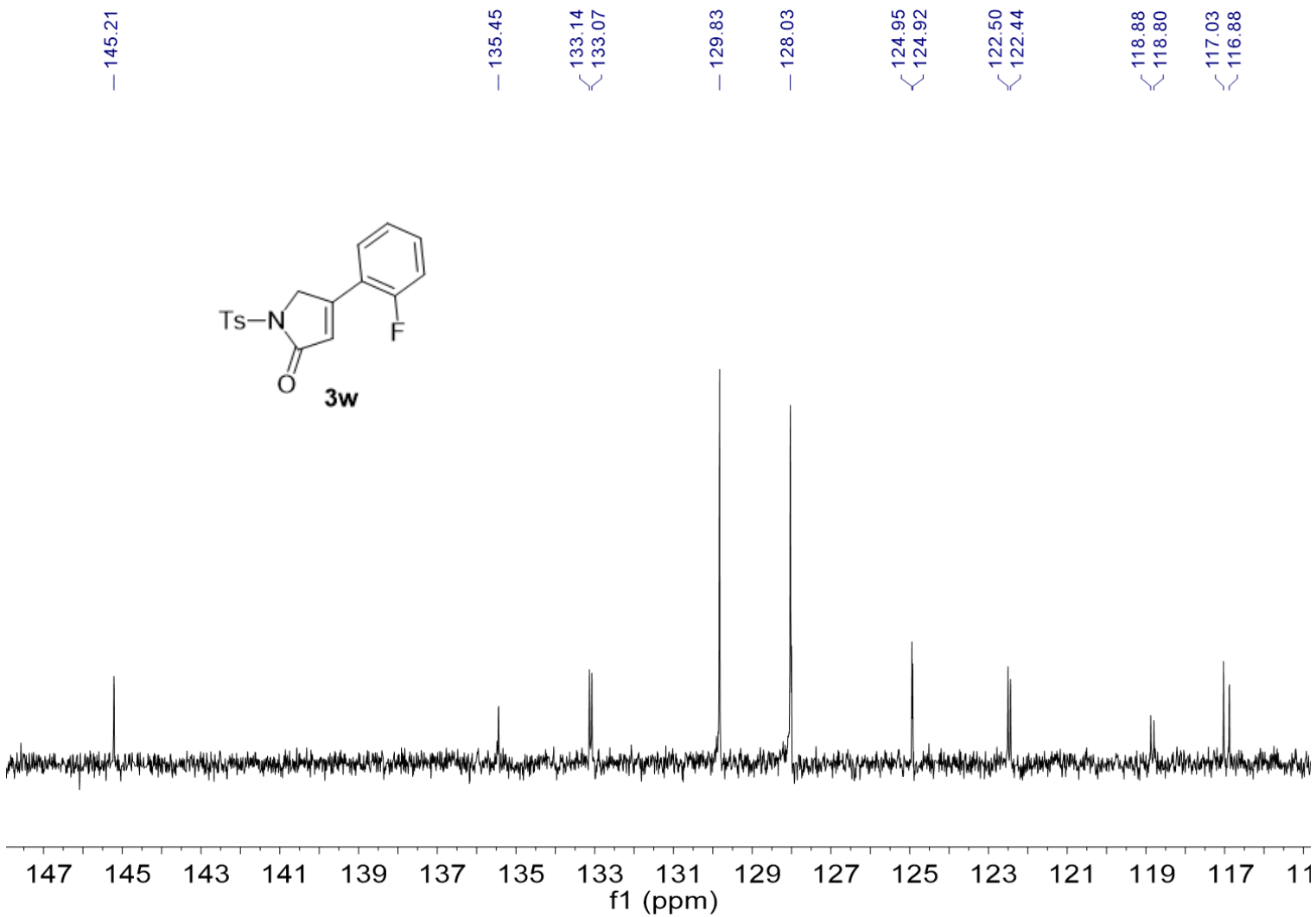

Figure S44. Local magnification ^{13}C NMR spectrum of **3w** in $CDCl_3$ (150 MHz) at 23℃.

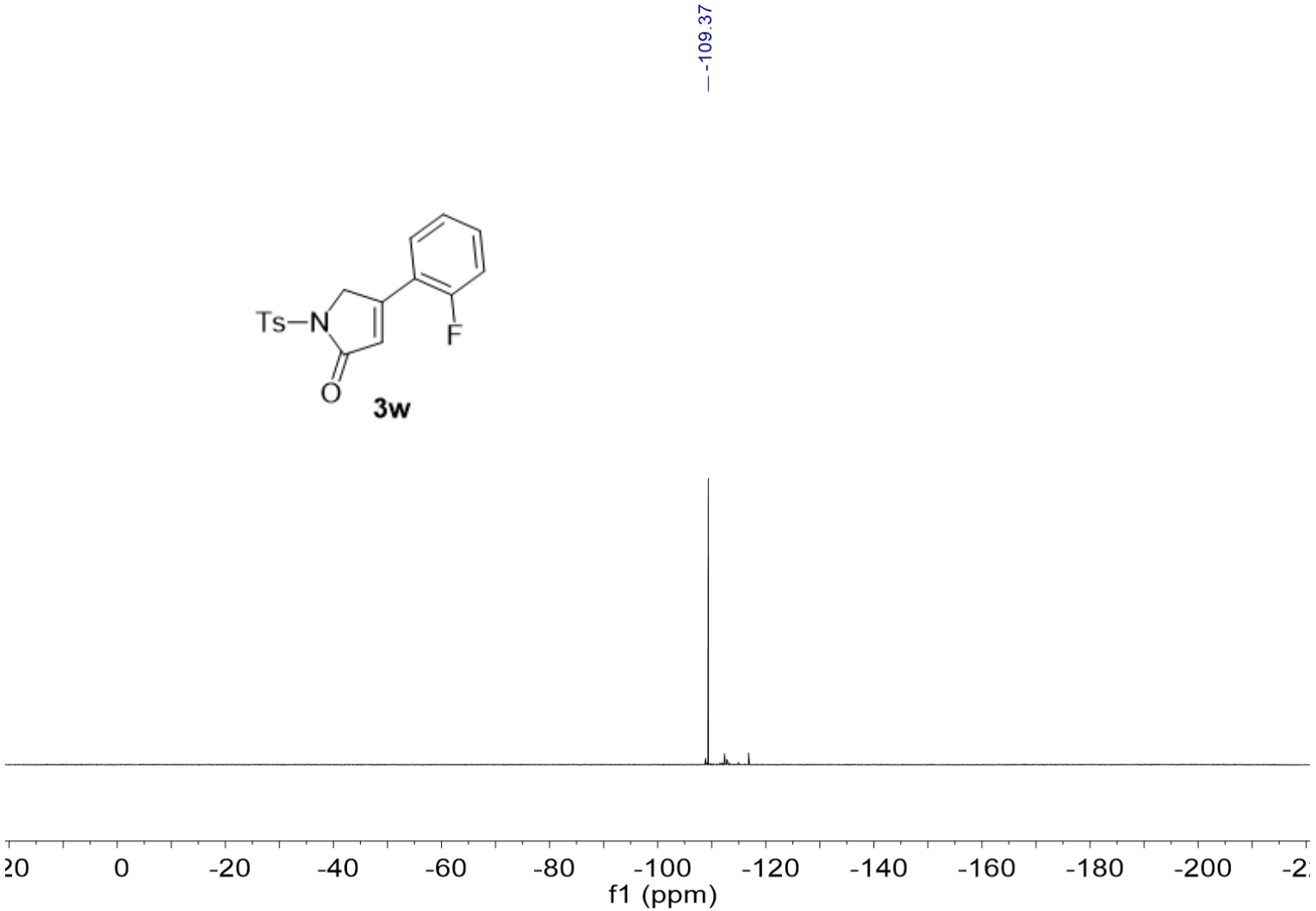

Figure S45. ^{19}F NMR spectrum of **3w** in $CDCl_3$ (376 MHz) at 23℃.

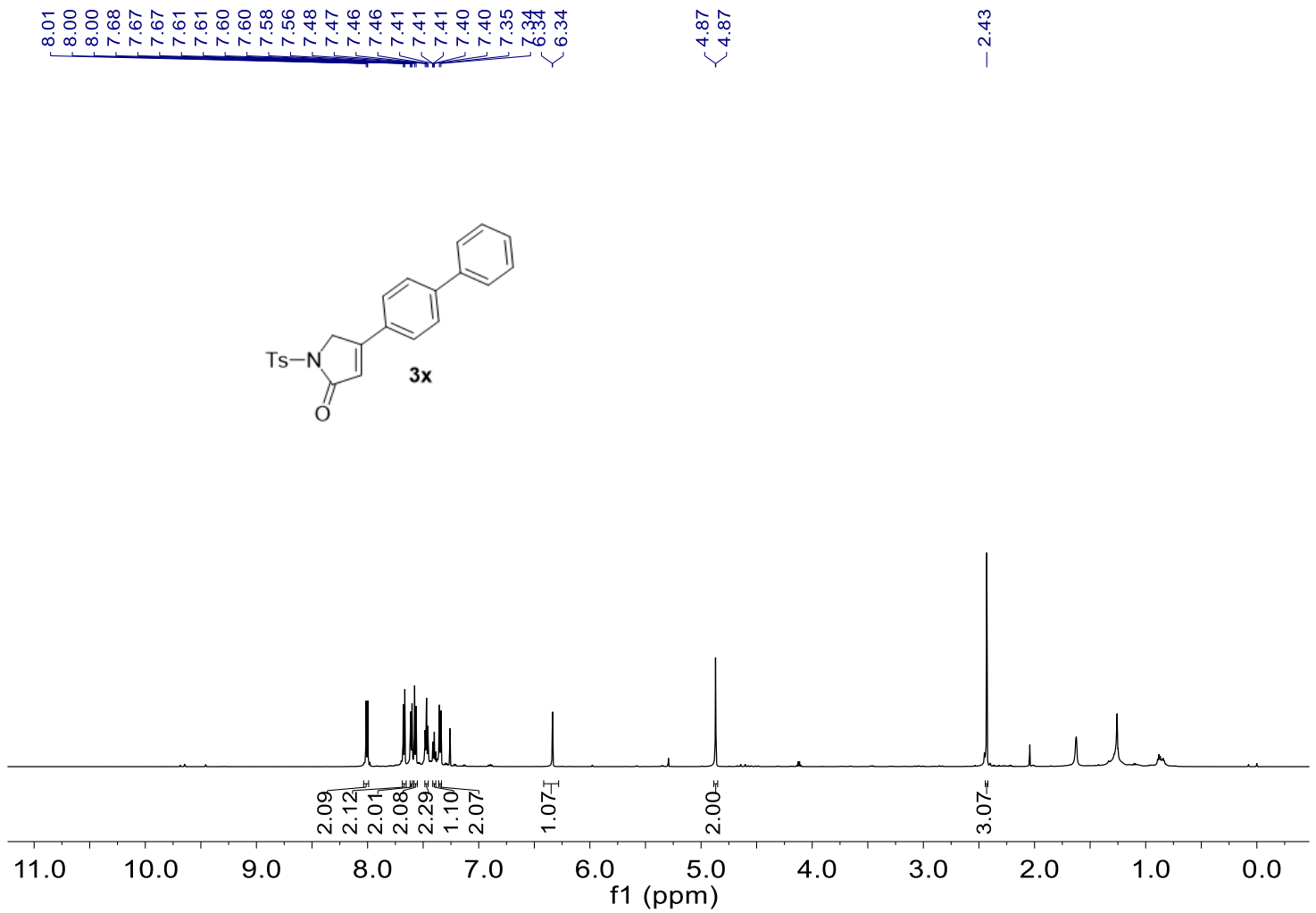

Figure S46. ^{1}H NMR spectrum of **3x** in $CDCl_3$ (600 MHz) at 23℃.

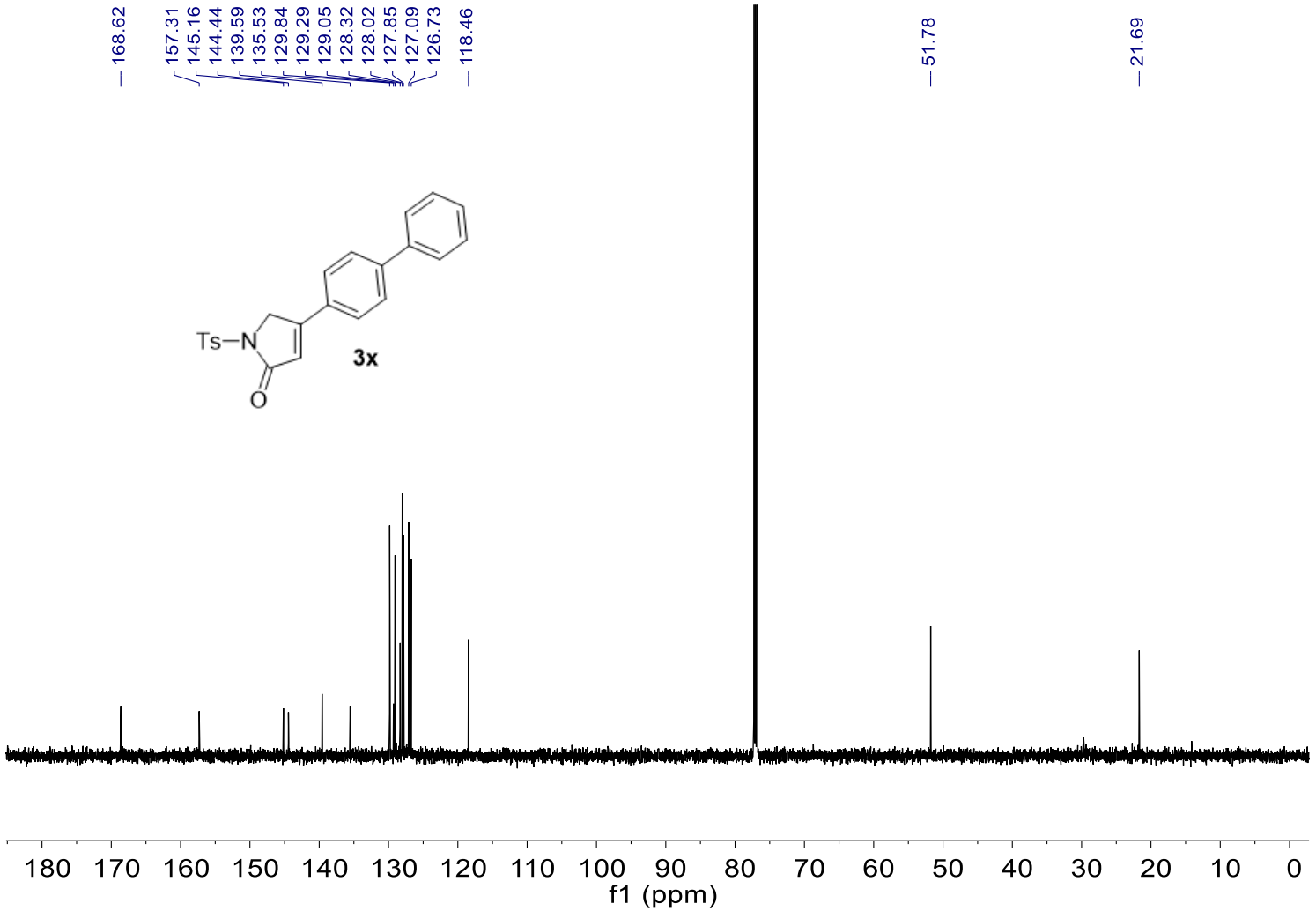

Figure S47. ^{13}C NMR spectrum of **3x** in $CDCl_3$ (150 MHz) at 23℃.

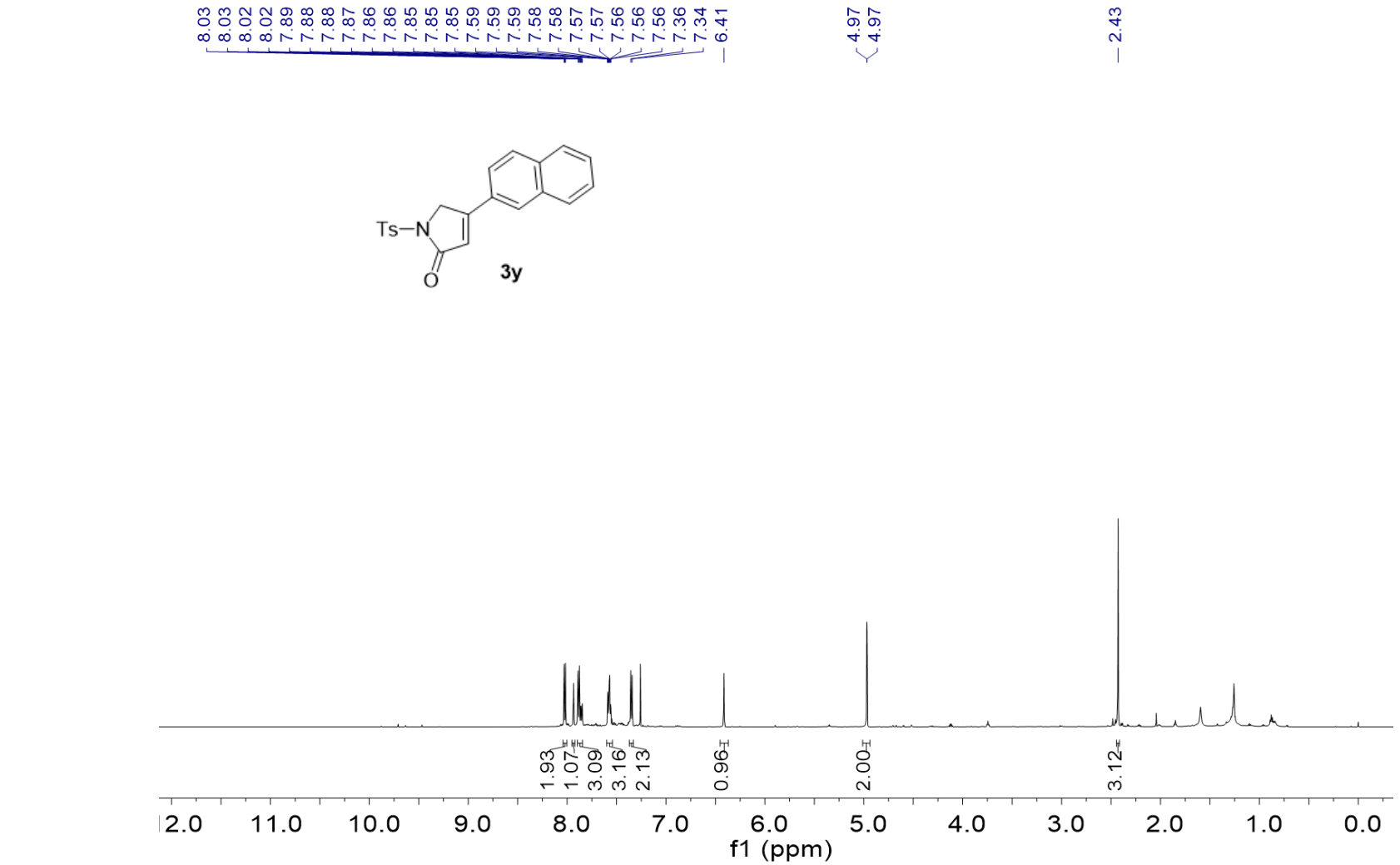

Figure S48. ^{1}H NMR spectrum of **3y** in $CDCl_3$ (600 MHz) at 23℃.

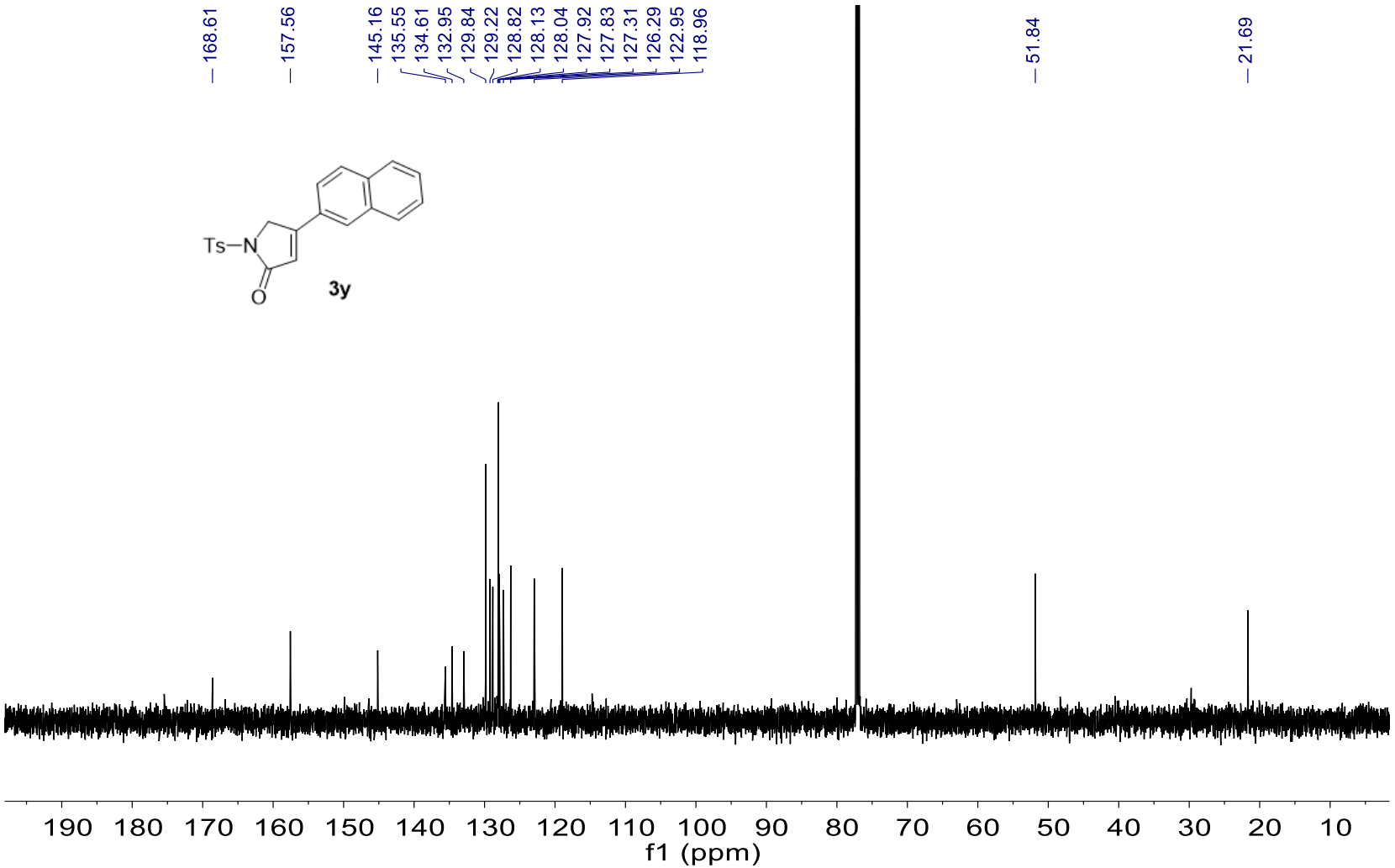

Figure S49. ^{13}C NMR spectrum of **3y** in $CDCl_3$ (150 MHz) at 23°C.

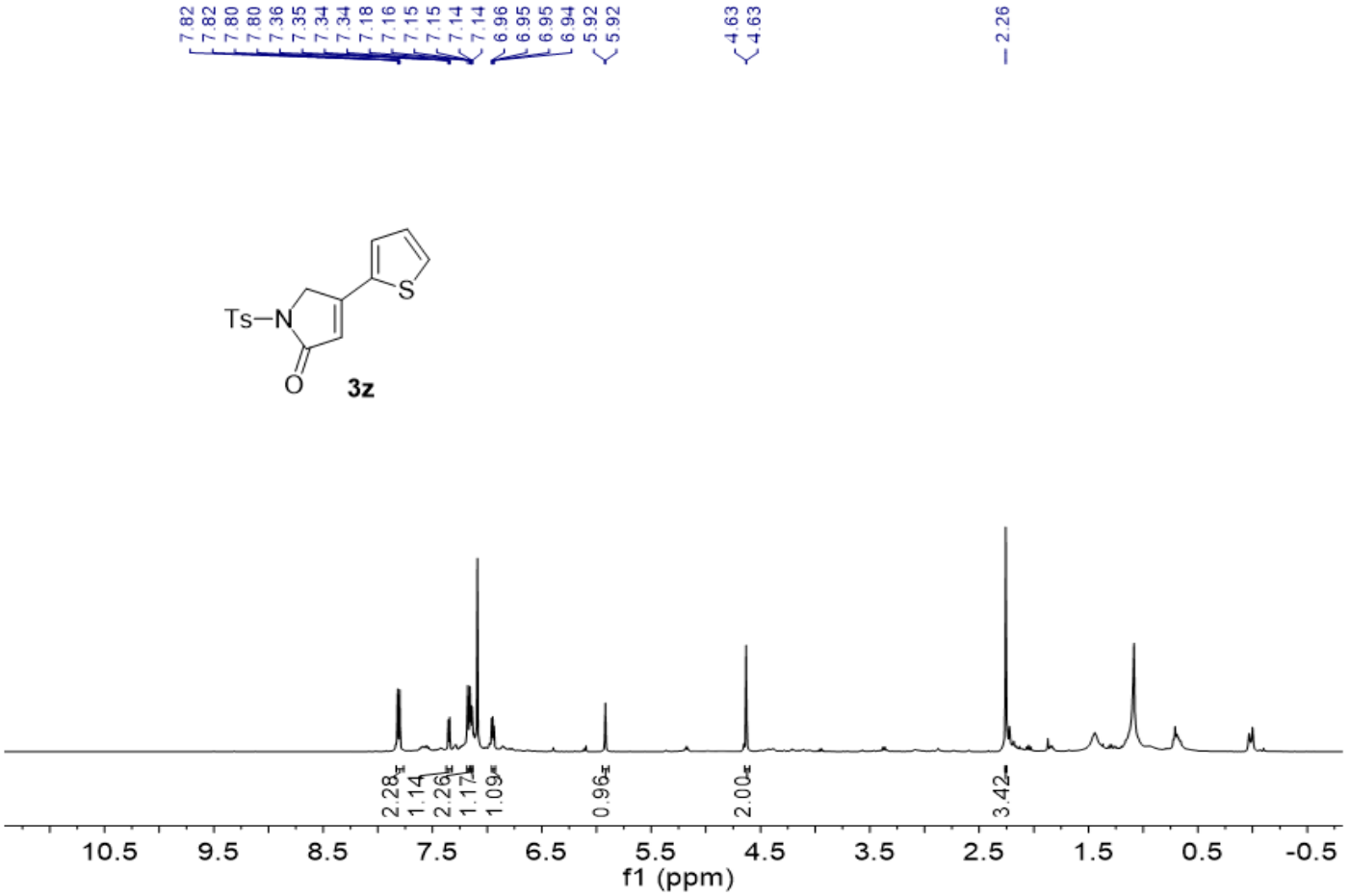

Figure S50. ^{1}H NMR spectrum of **3z** in $CDCl_3$ (400 MHz) at 23°C.

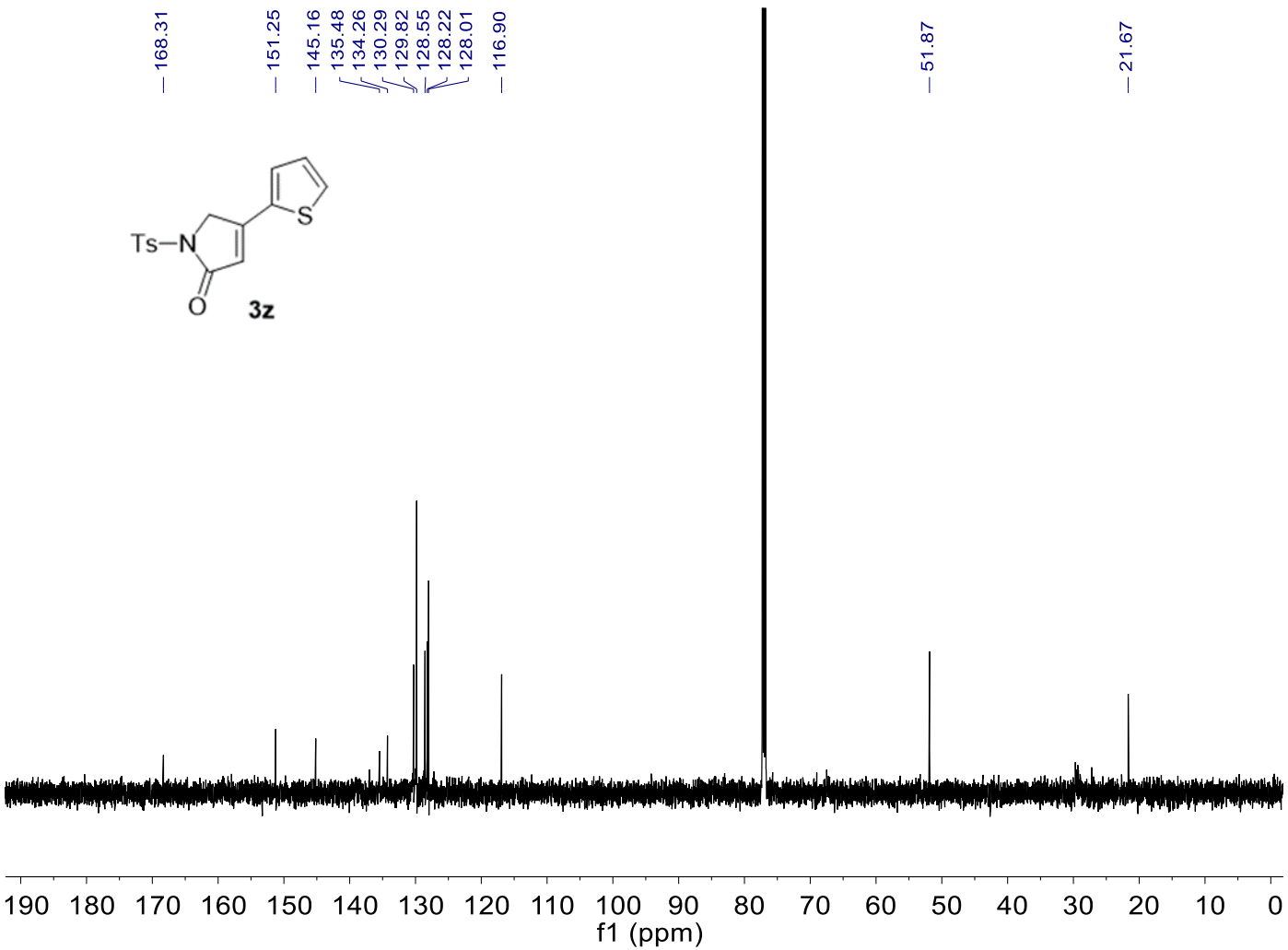

Figure S51. ^{13}C NMR spectrum of **3z** in $CDCl_3$ (150 MHz) at 23℃.

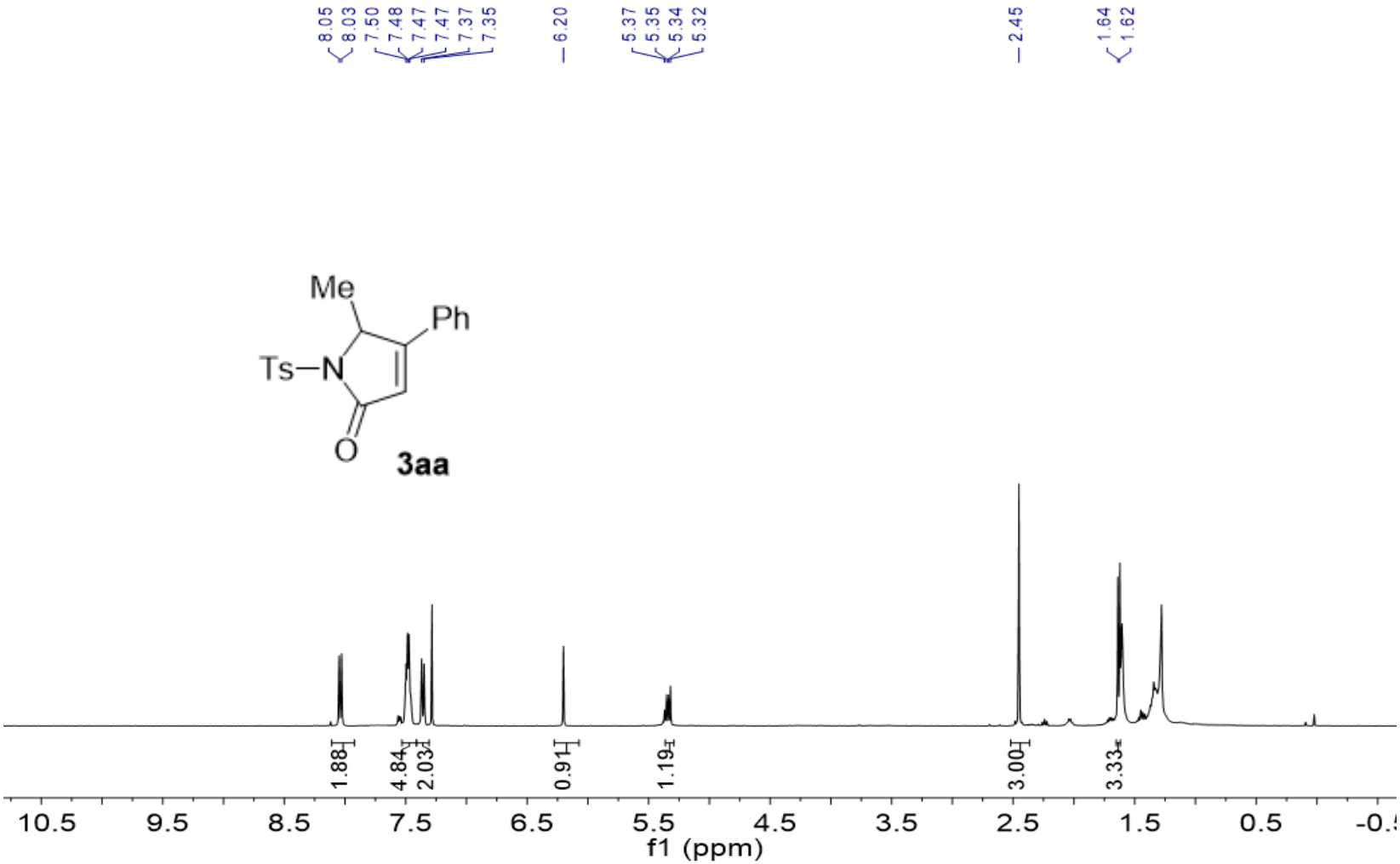

Figure S52. ^{1}H NMR spectrum of **3aa** in $CDCl_3$ (400 MHz) at 23℃.

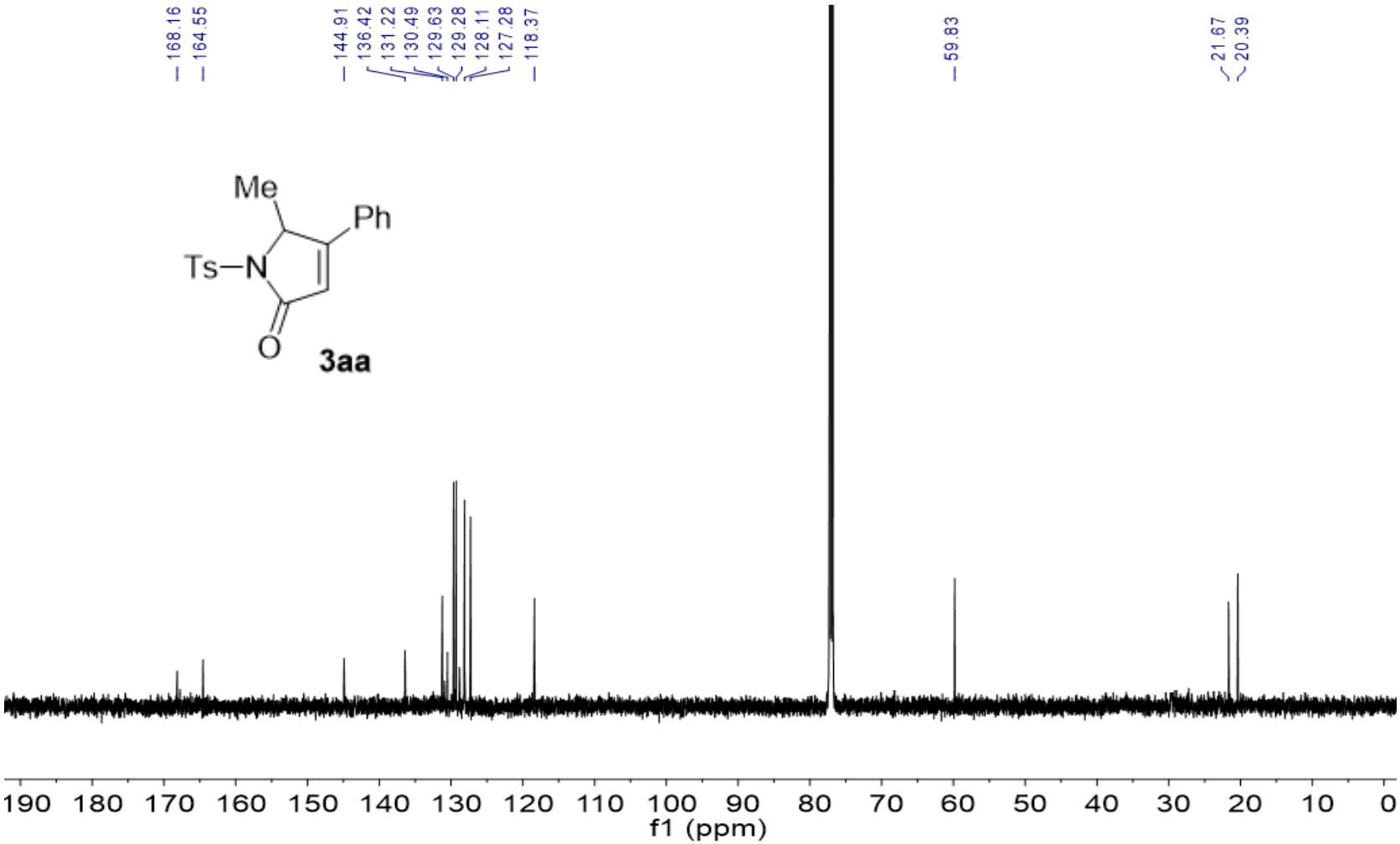

Figure S53. ^{13}C NMR spectrum of **3aa** in $CDCl_3$ (150 MHz) at 23℃.

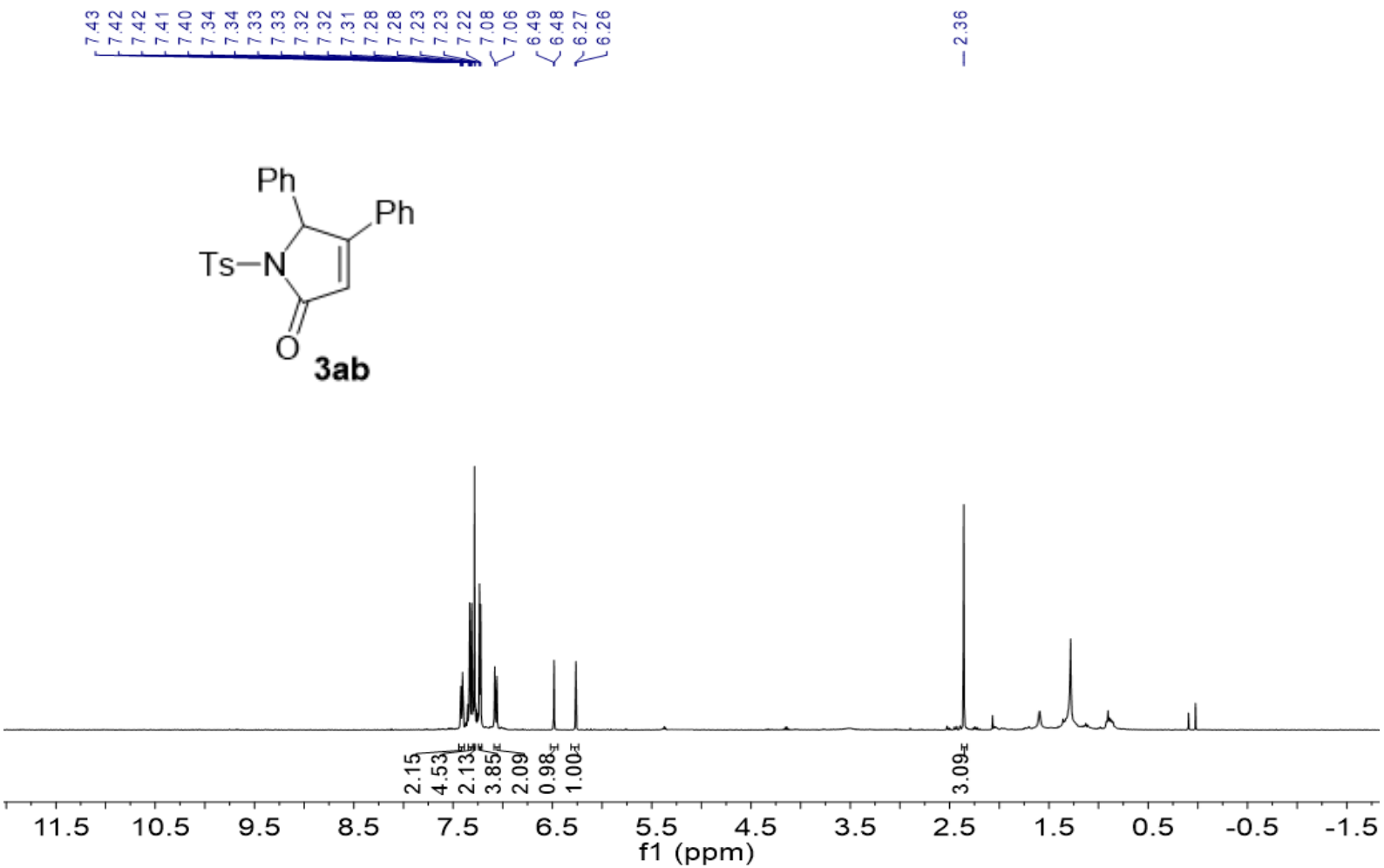

Figure S54. ^{1}H NMR spectrum of **3ab** in $CDCl_3$ (400 MHz) at 23℃.

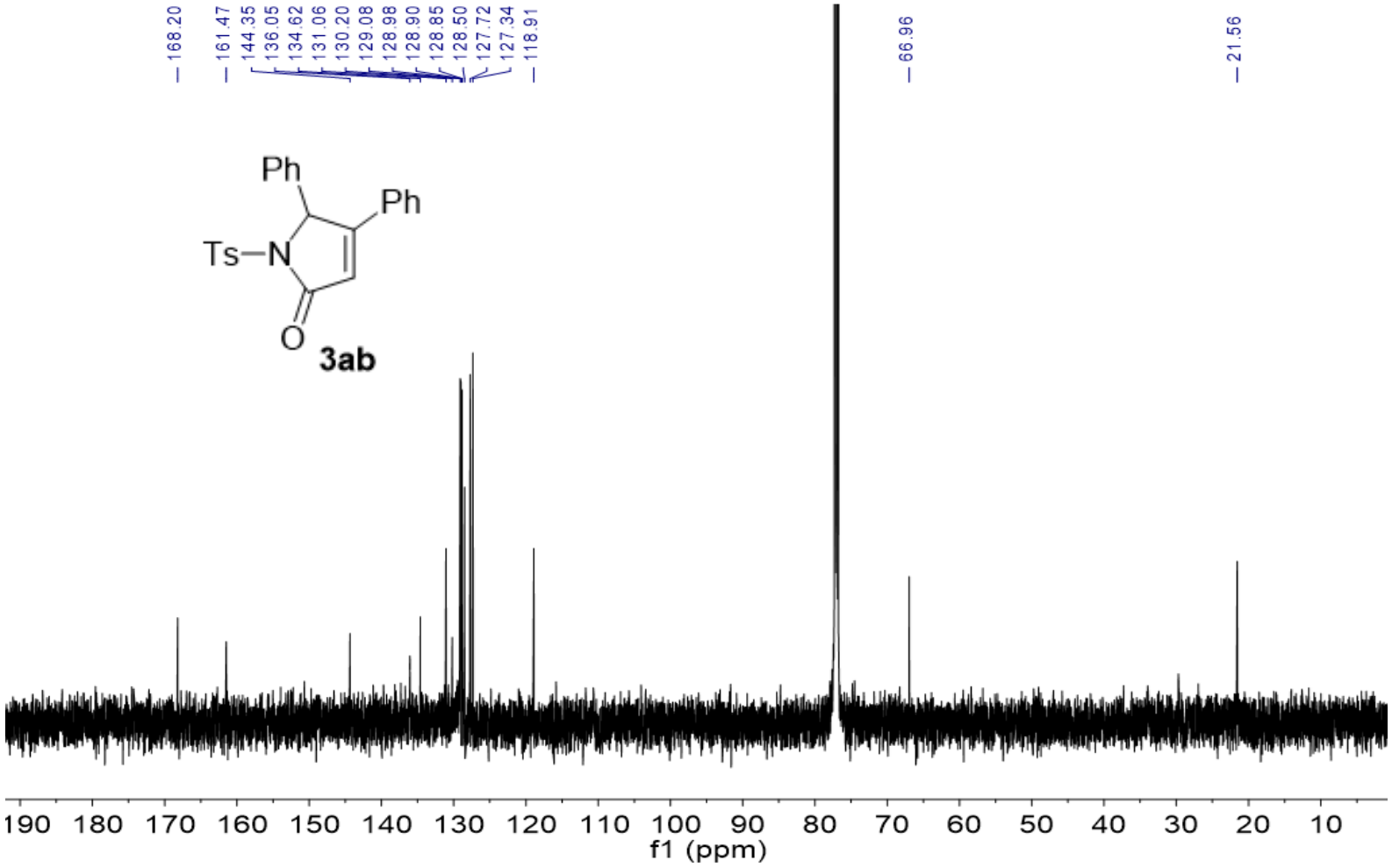

Figure S55. ^{13}C NMR spectrum of **3ab** in $CDCl_3$ (150 MHz) at 23℃.

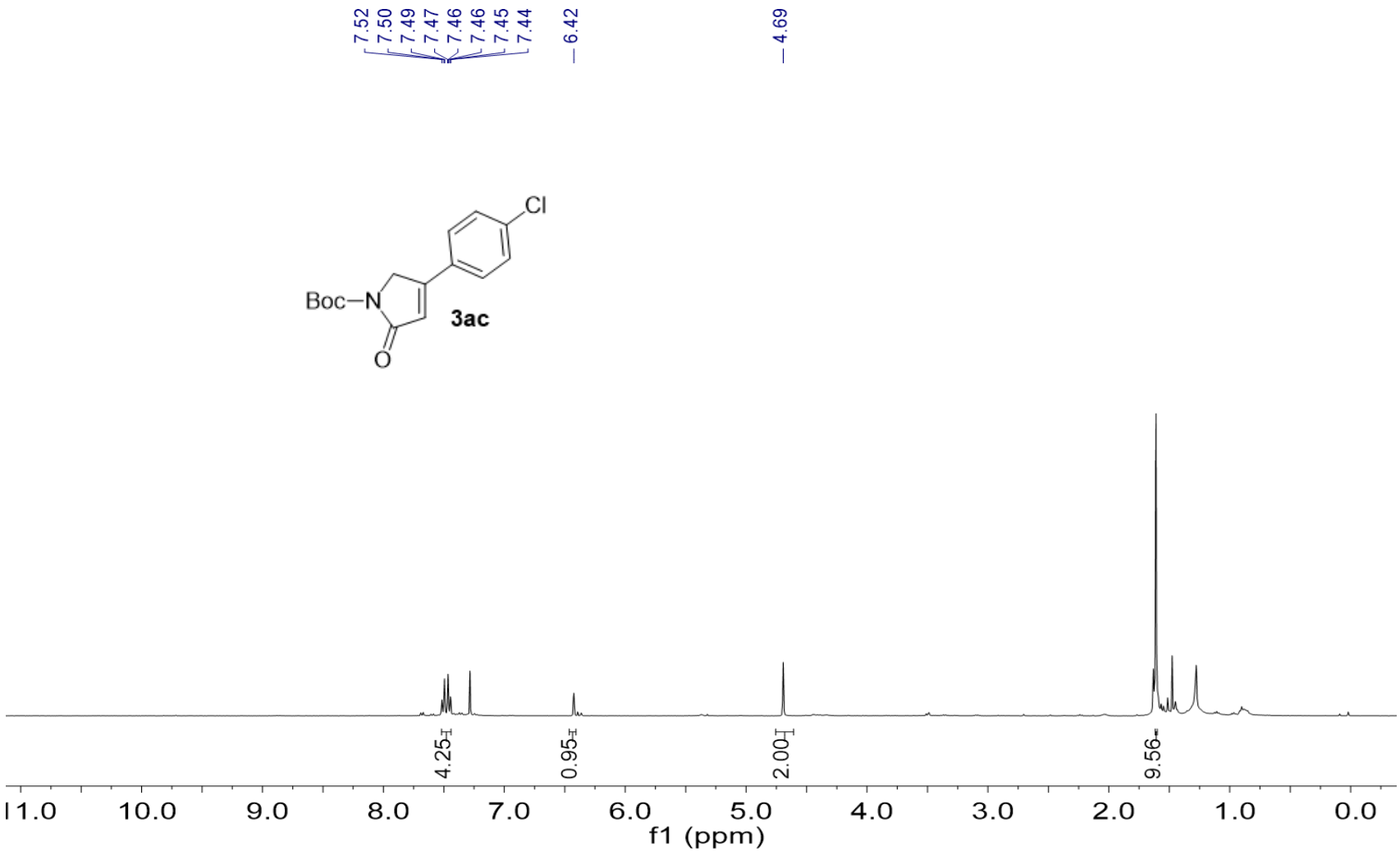

Figure S56. ^{1}H NMR spectrum of **3ac** in $CDCl_3$ (400 MHz) at 23℃.

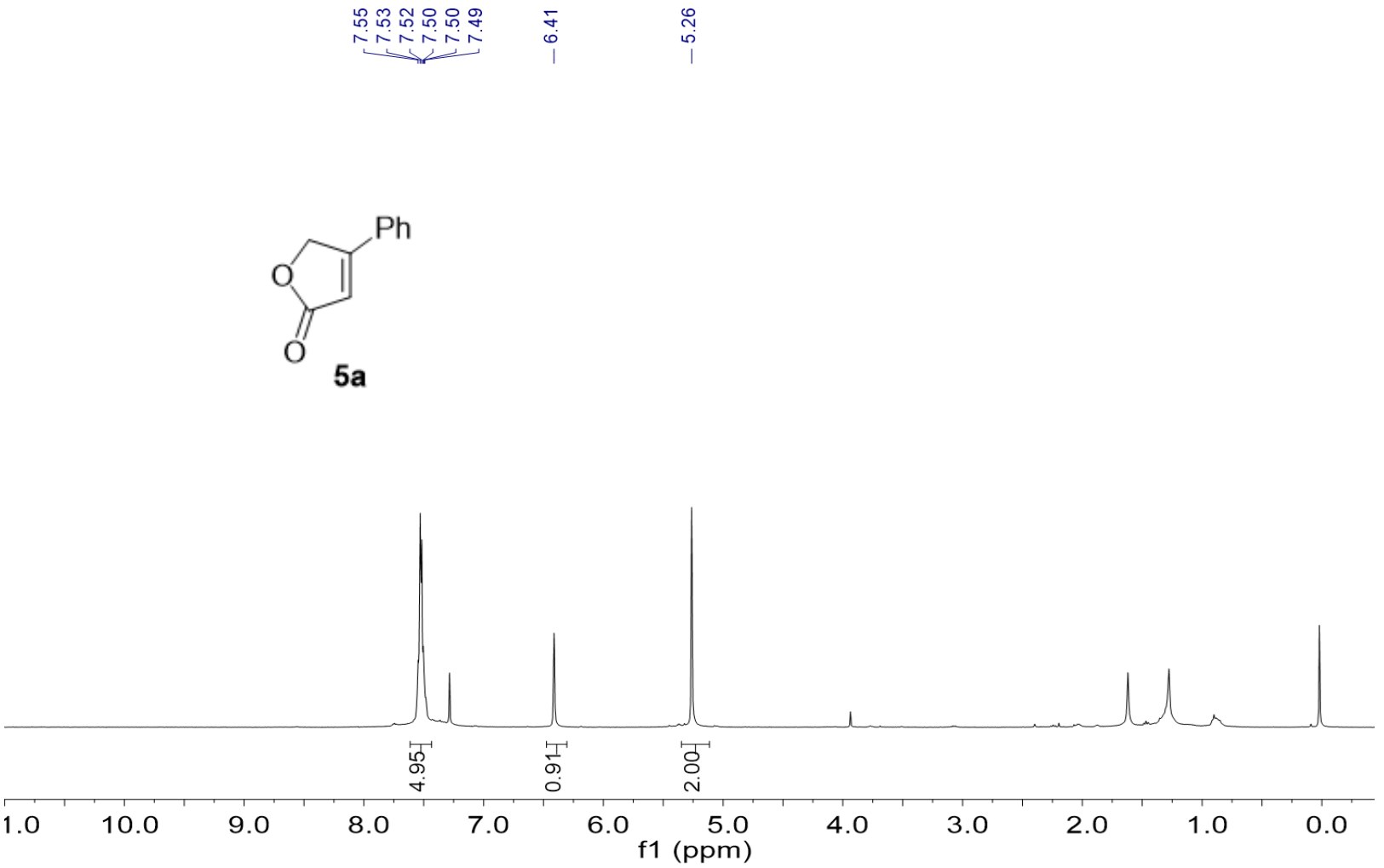

Figure S57. ^{1}H NMR spectrum of **5a** in $CDCl_3$ (400 MHz) at 23℃.

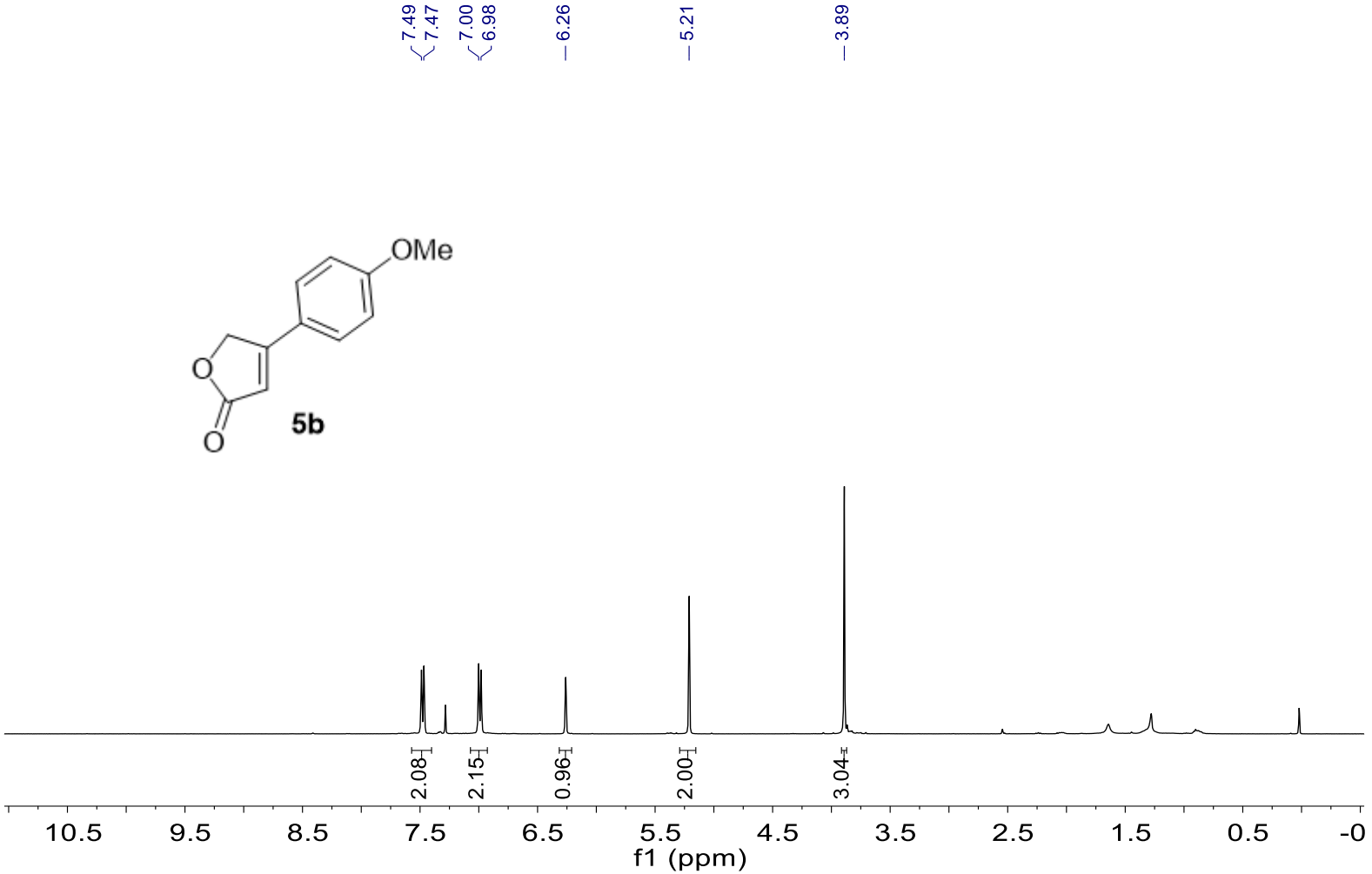

Figure S58. ^{1}H NMR spectrum of **5b** in $CDCl_3$ (400 MHz) at 23℃.

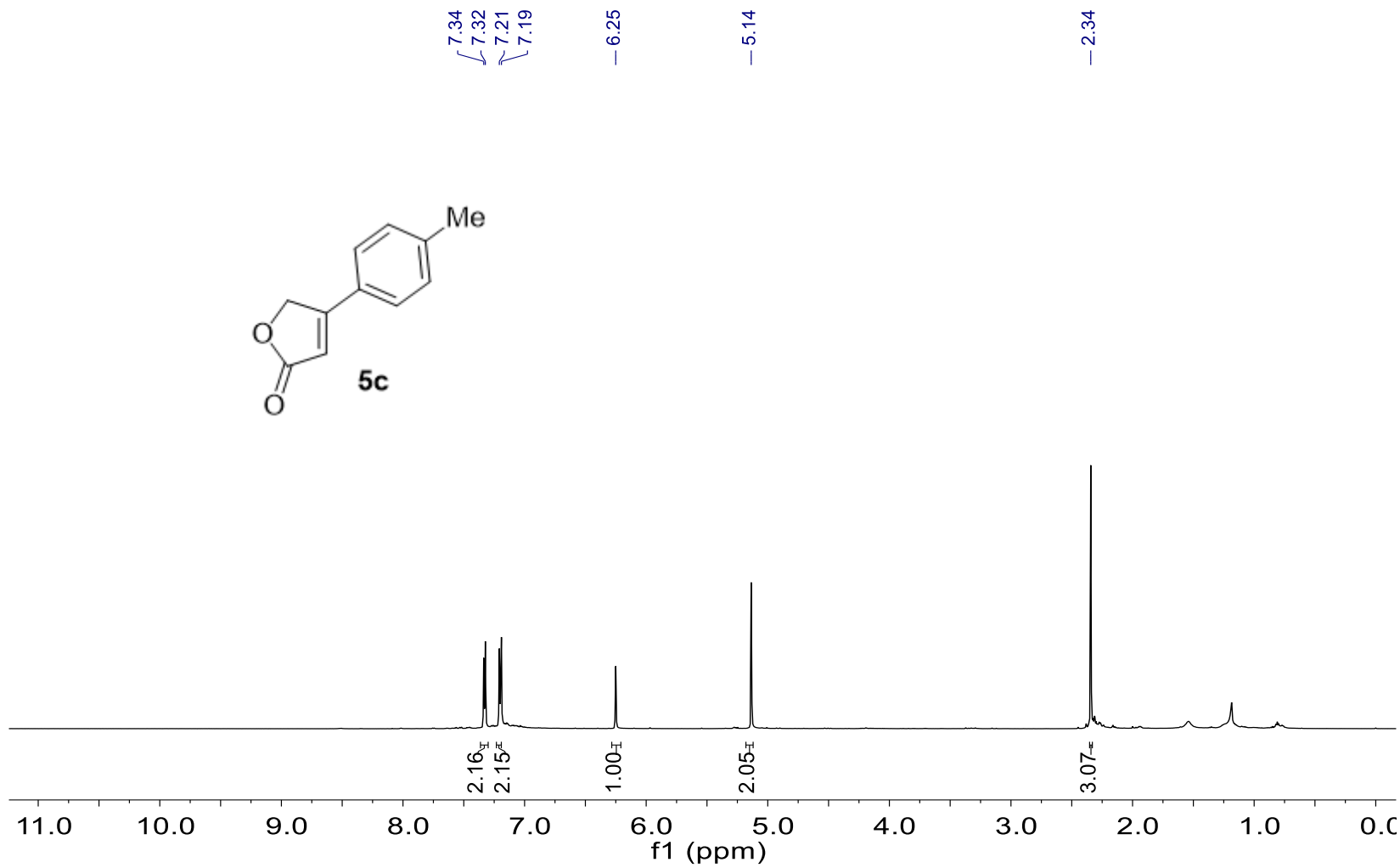

Figure S59. ^{1}H NMR spectrum of **5c** in $CDCl_3$ (600 MHz) at 23°C.

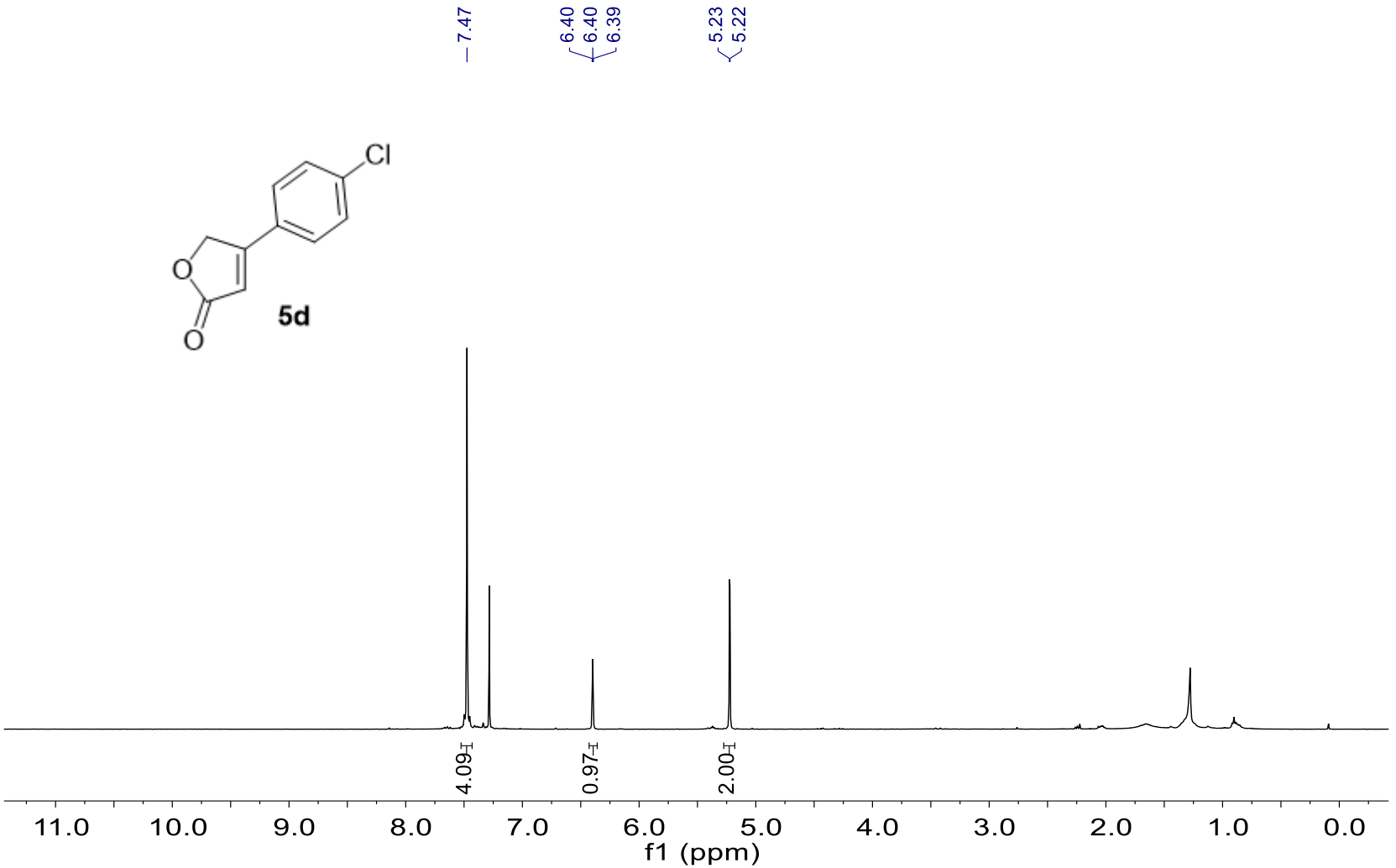

Figure S60. ^{1}H NMR spectrum of **5d** in $CDCl_3$ (400 MHz) at 23℃.

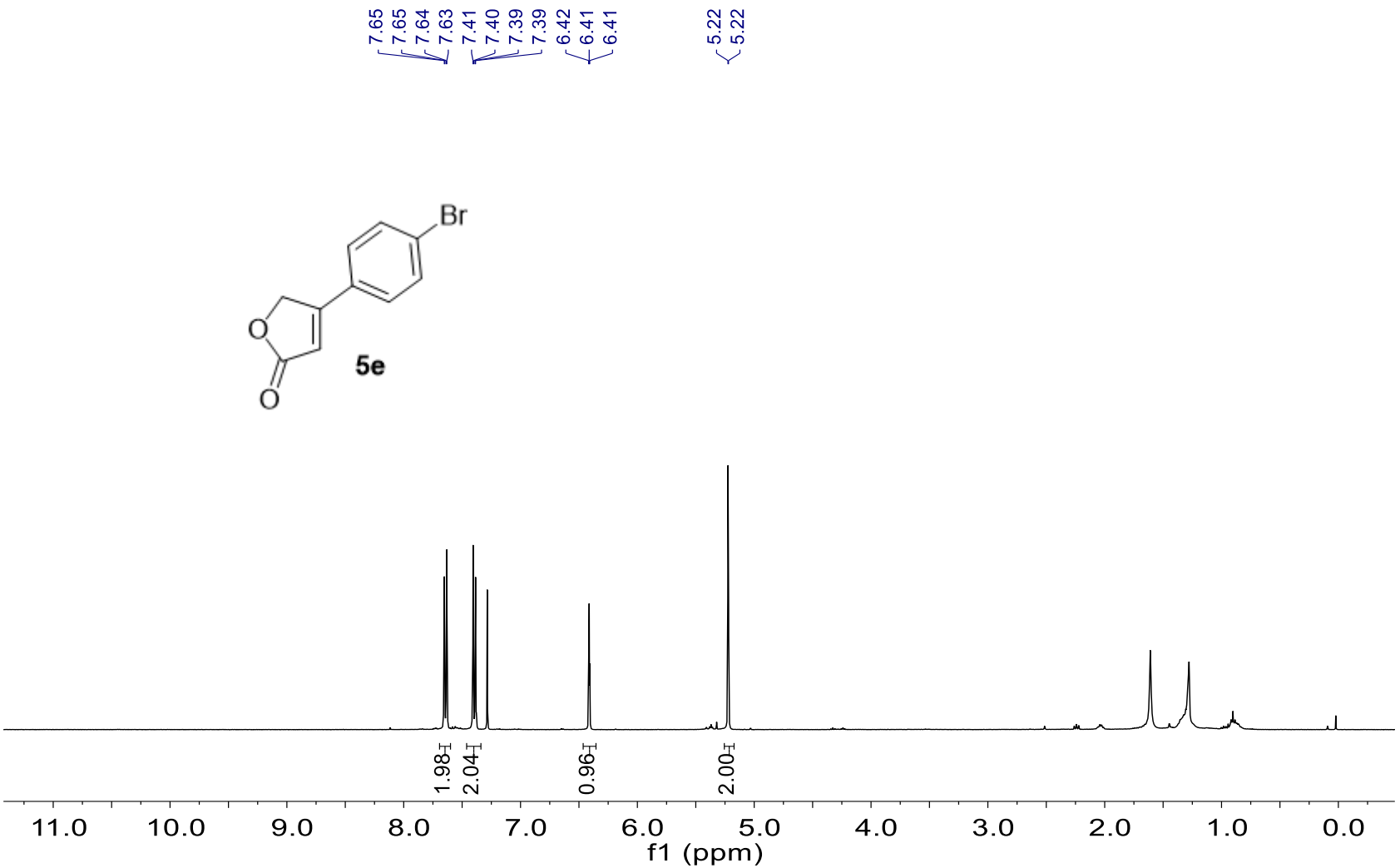

Figure S61. ^{1}H NMR spectrum of **5e** in $CDCl_3$ (400 MHz) at 23℃.

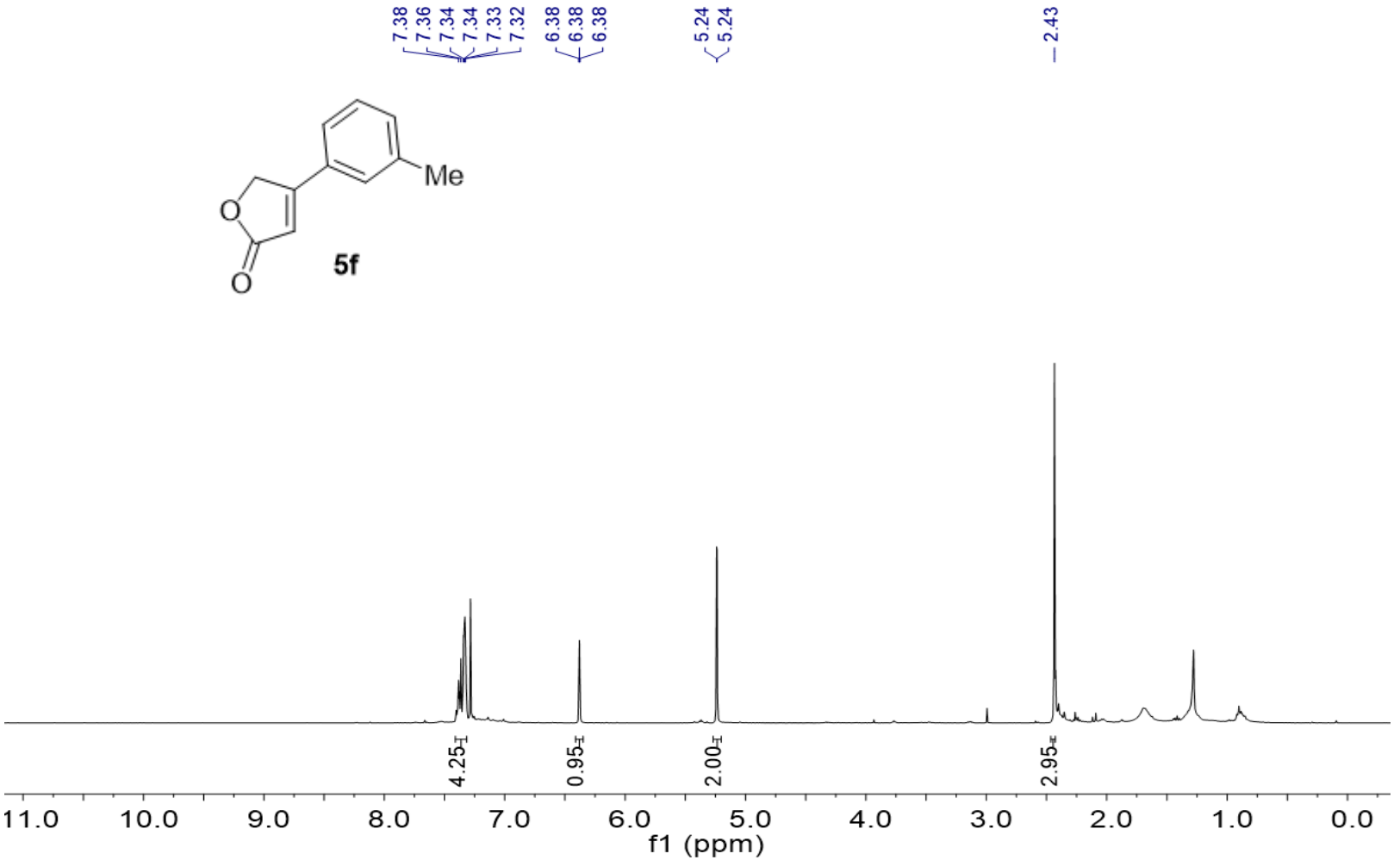

Figure S62. ^{1}H NMR spectrum of **5f** in $CDCl_3$ (400 MHz) at 23℃.

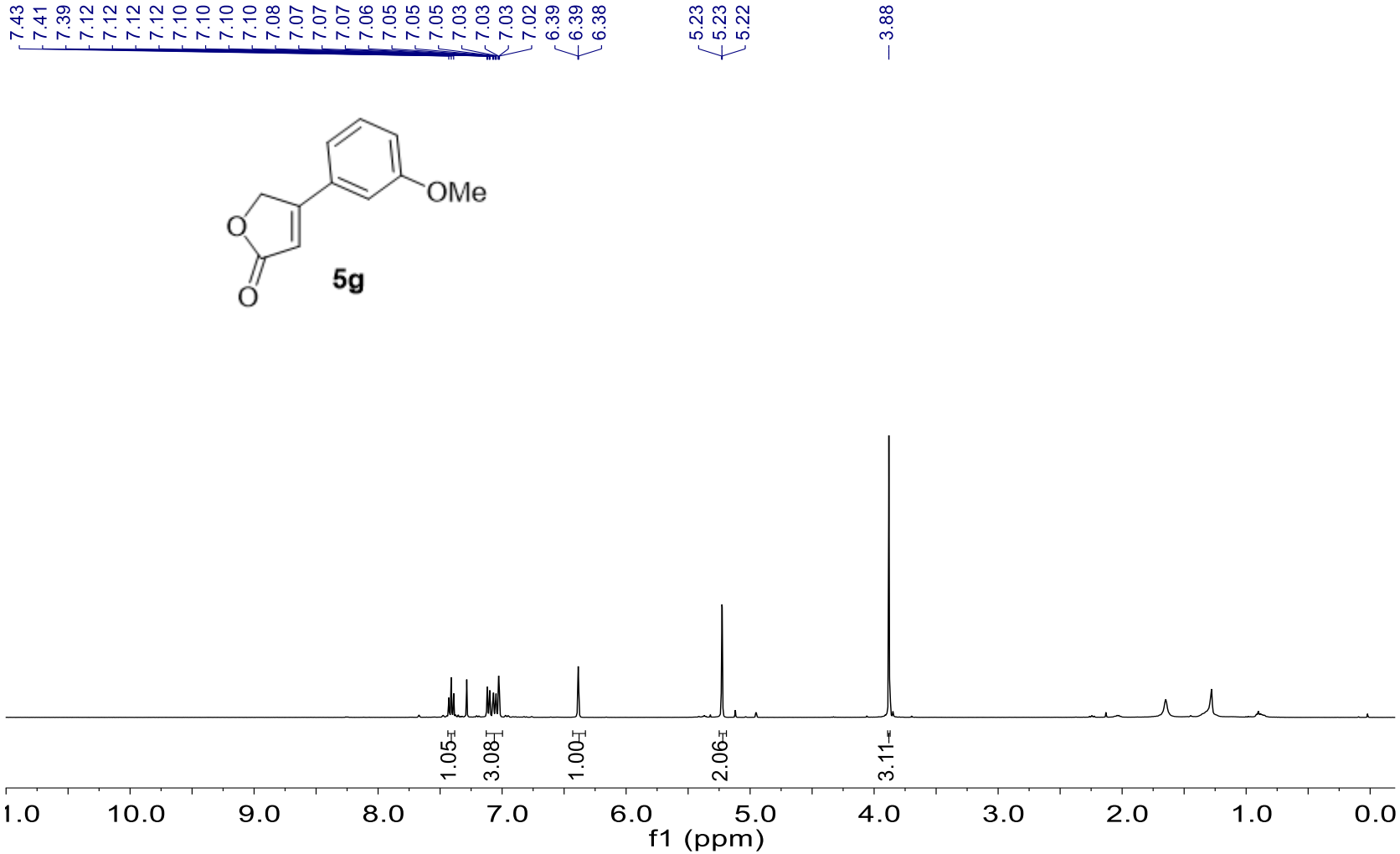

Figure S63. ^{1}H NMR spectrum of **5g** in $CDCl_3$ (400 MHz) at 23°C.

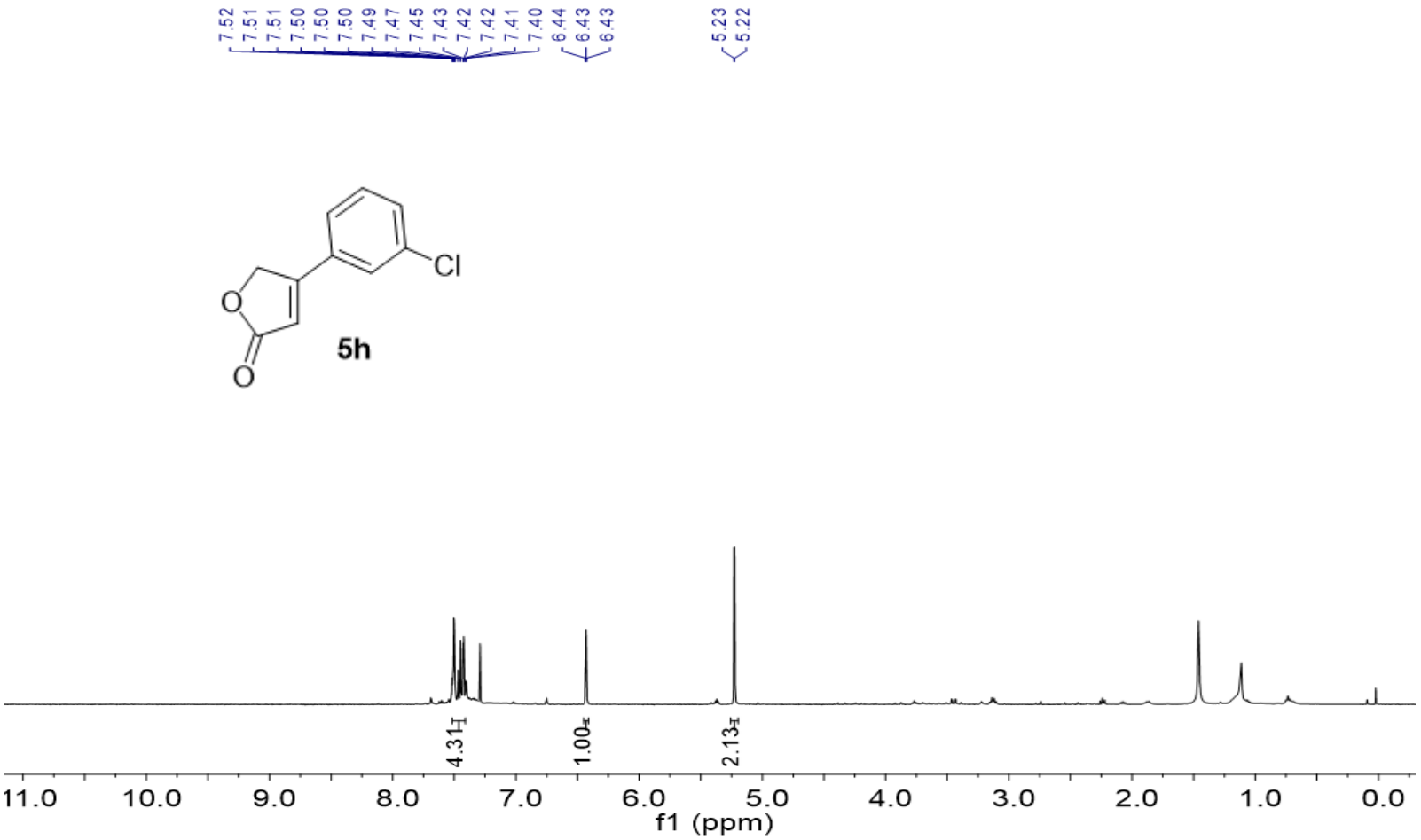

Figure S64. ^{1}H NMR spectrum of **5h** in $CDCl_3$ (400 MHz) at 23℃.

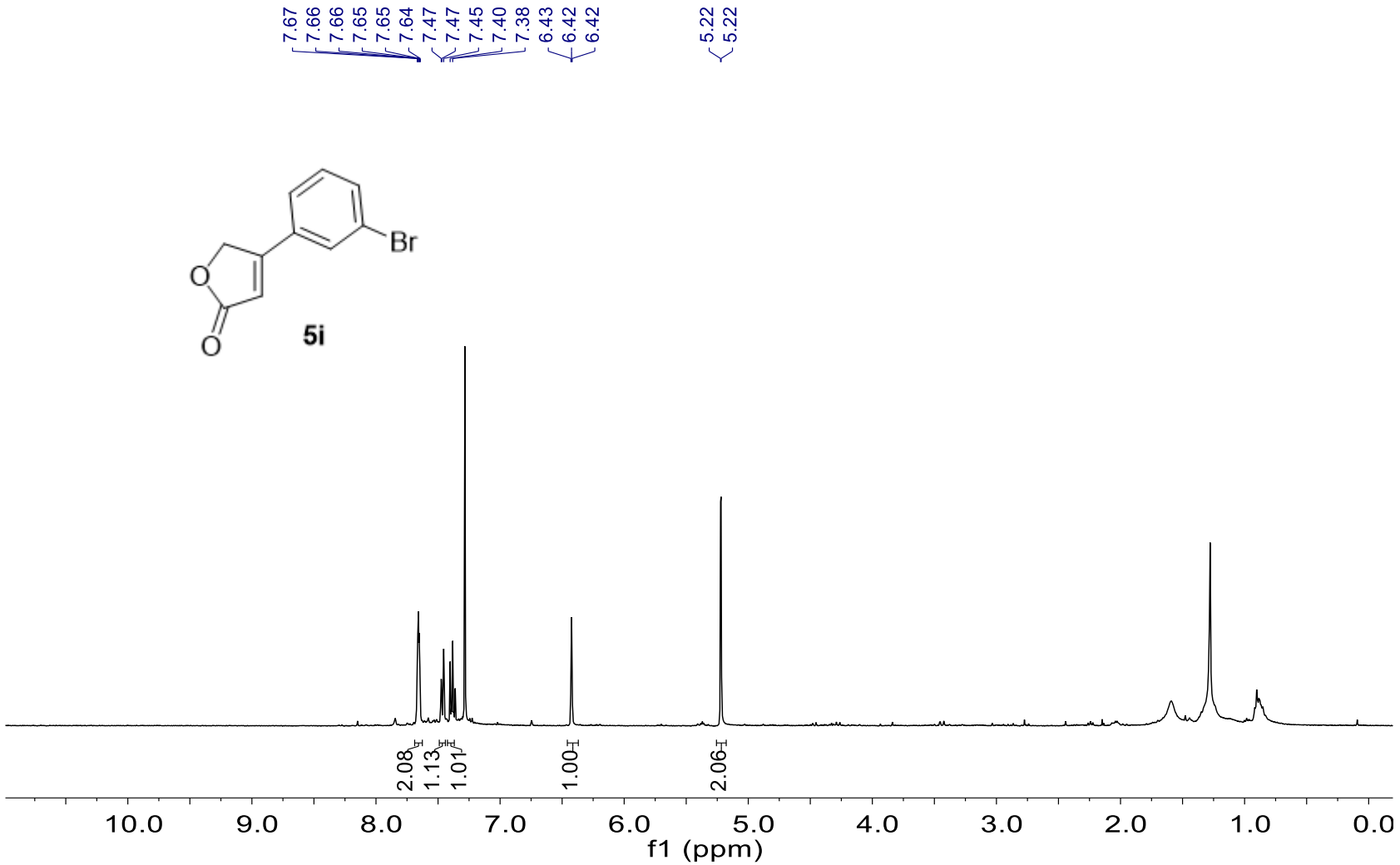

Figure S65. ^{1}H NMR spectrum of **5i** in $CDCl_3$ (400 MHz) at 23℃.

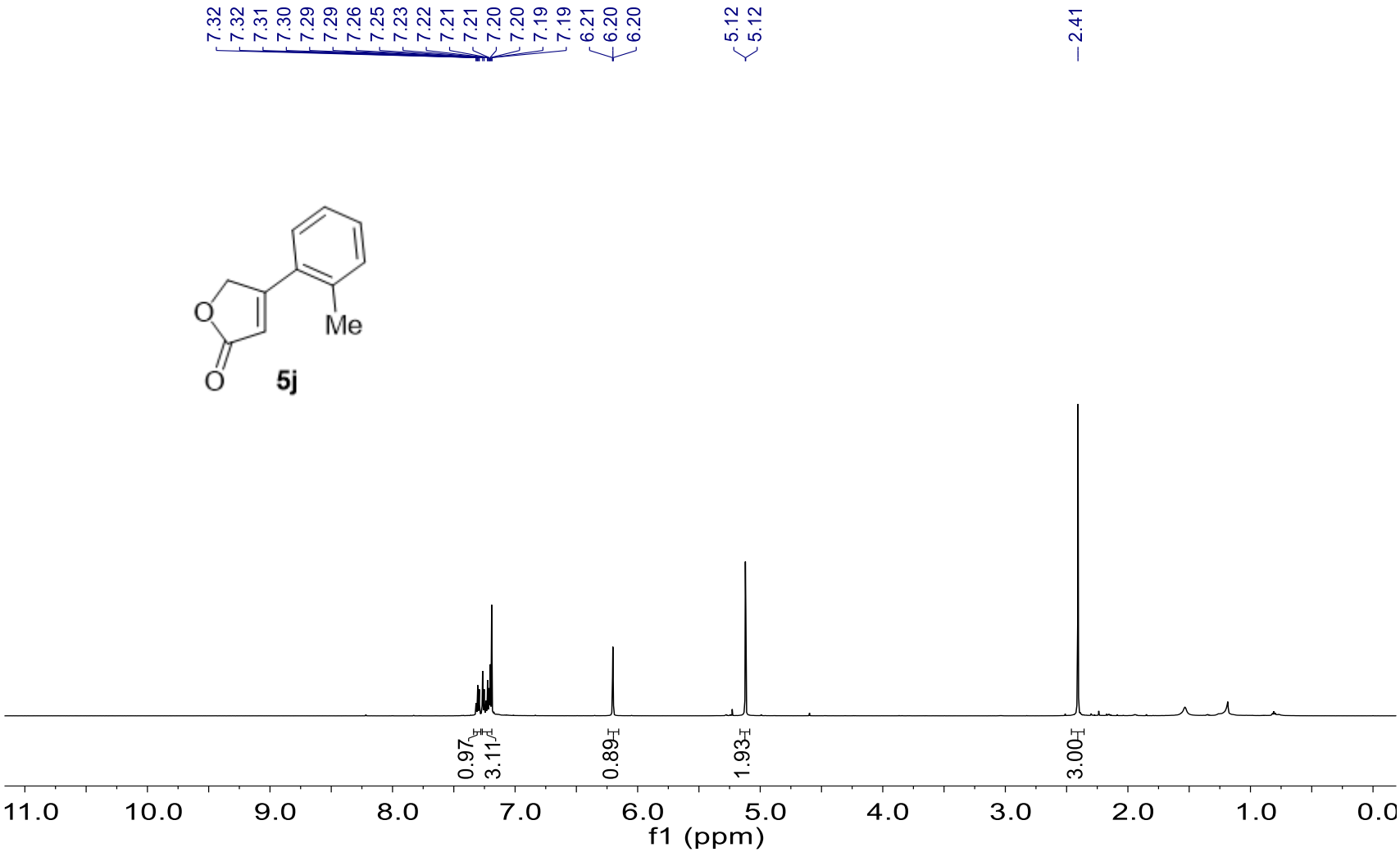

Figure S66. ^{1}H NMR spectrum of **5j** in $CDCl_3$ (600 MHz) at 23℃.

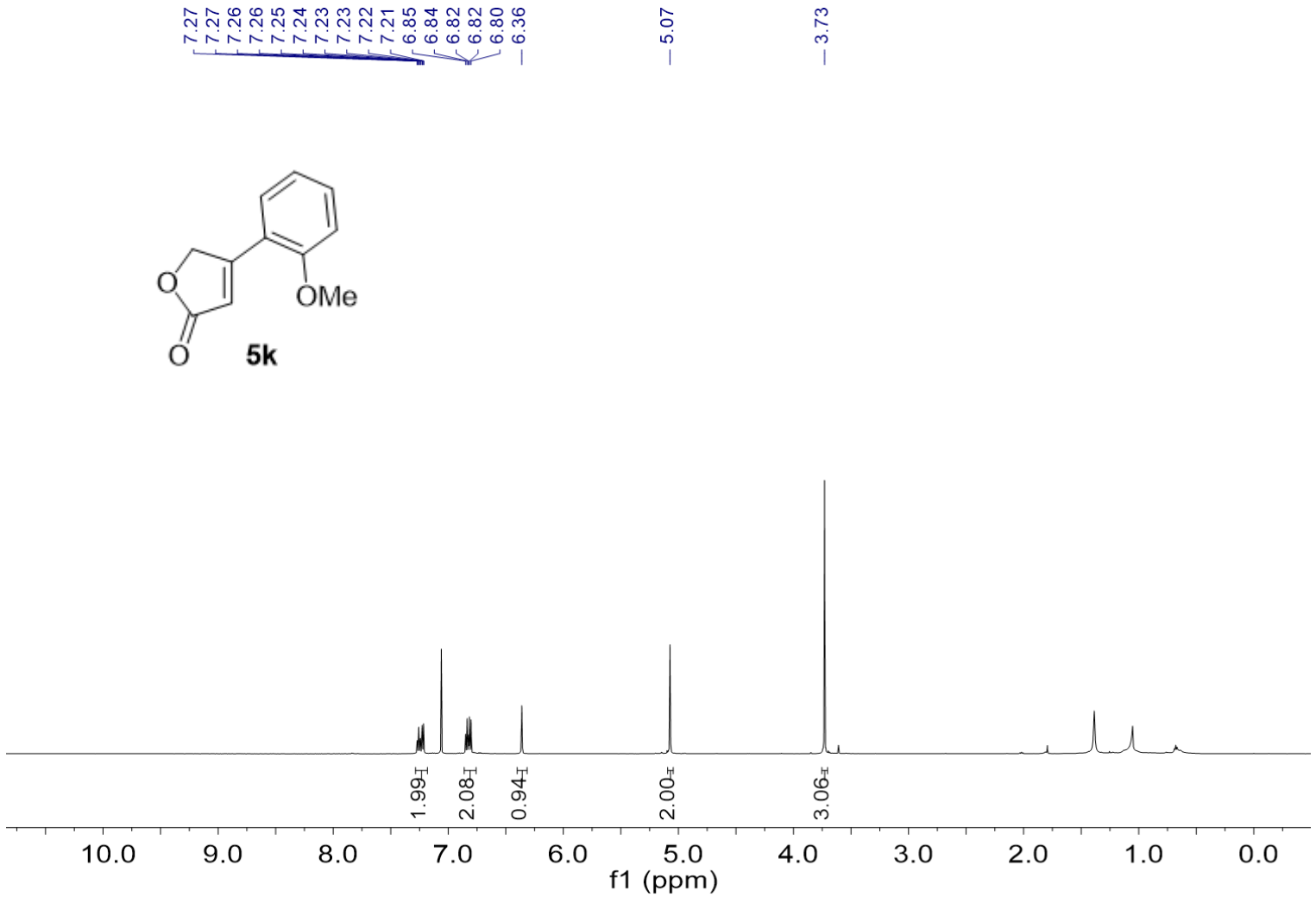

Figure S67. ^{1}H NMR spectrum of **5k** in $CDCl_3$ (600 MHz) at 23℃.

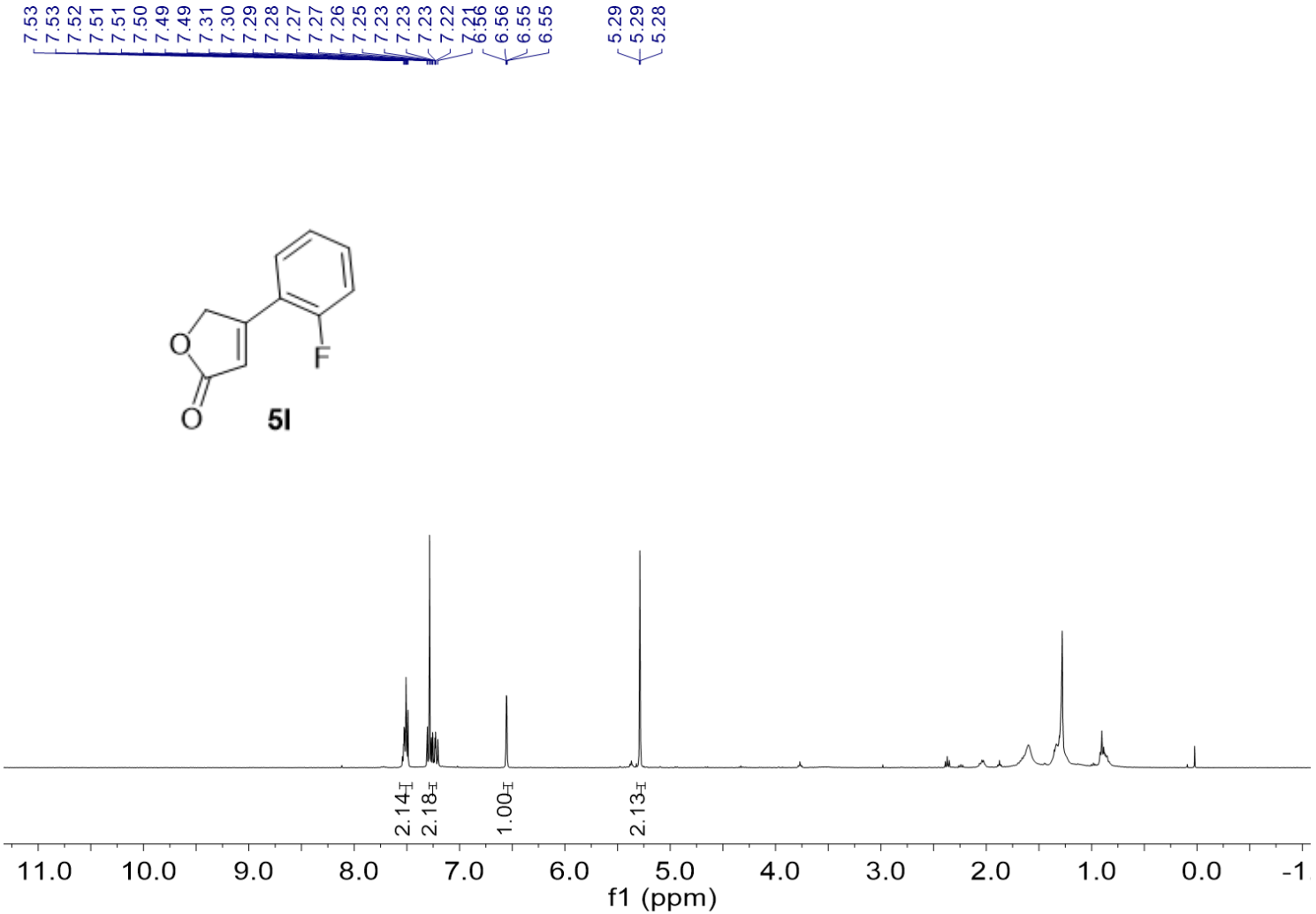

Figure S68. ^{1}H NMR spectrum of **5l** in $CDCl_3$ (400 MHz) at 23℃.

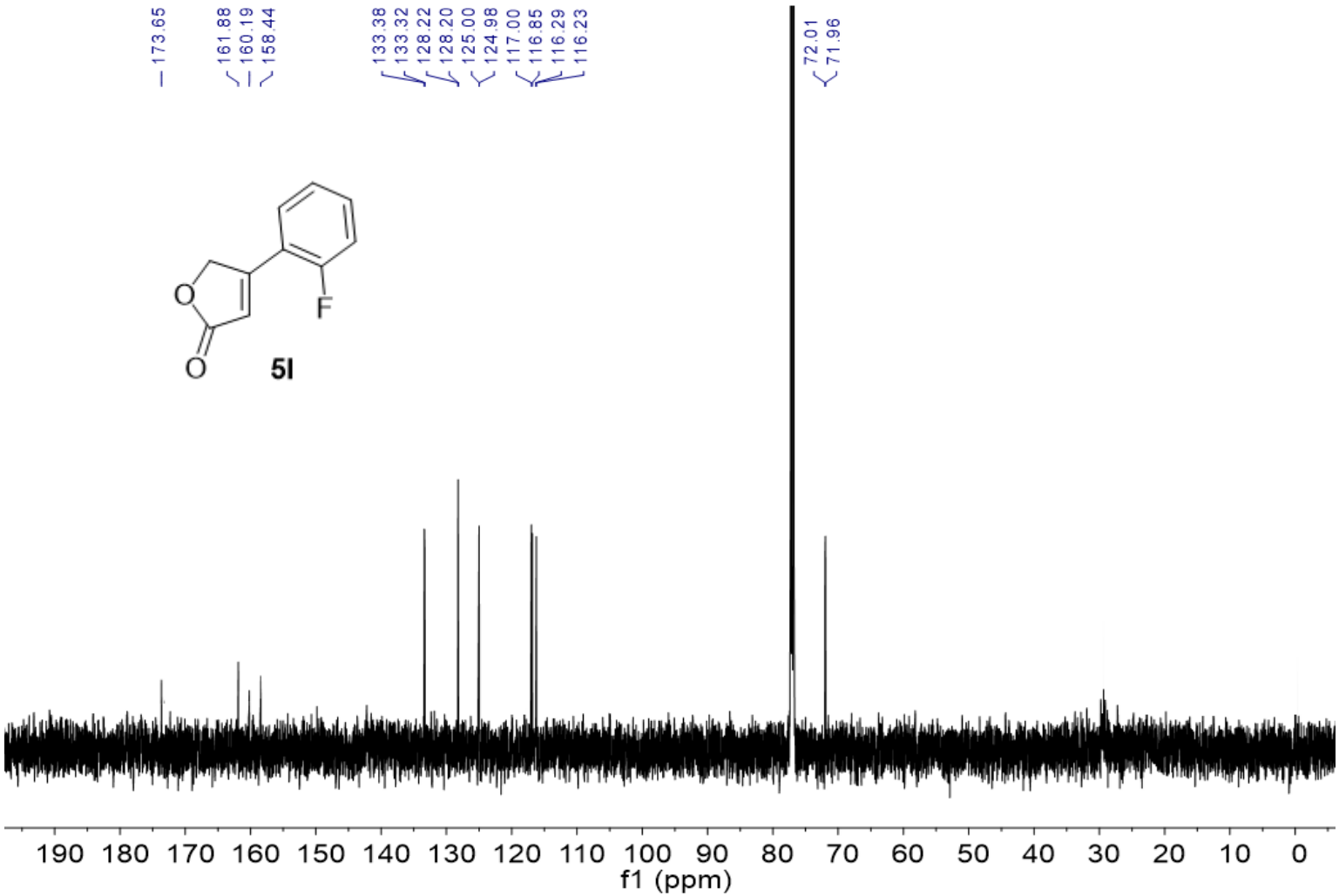

Figure S69. ^{13}C NMR spectrum of **5l** in $CDCl_3$ (150 MHz) at 23℃.

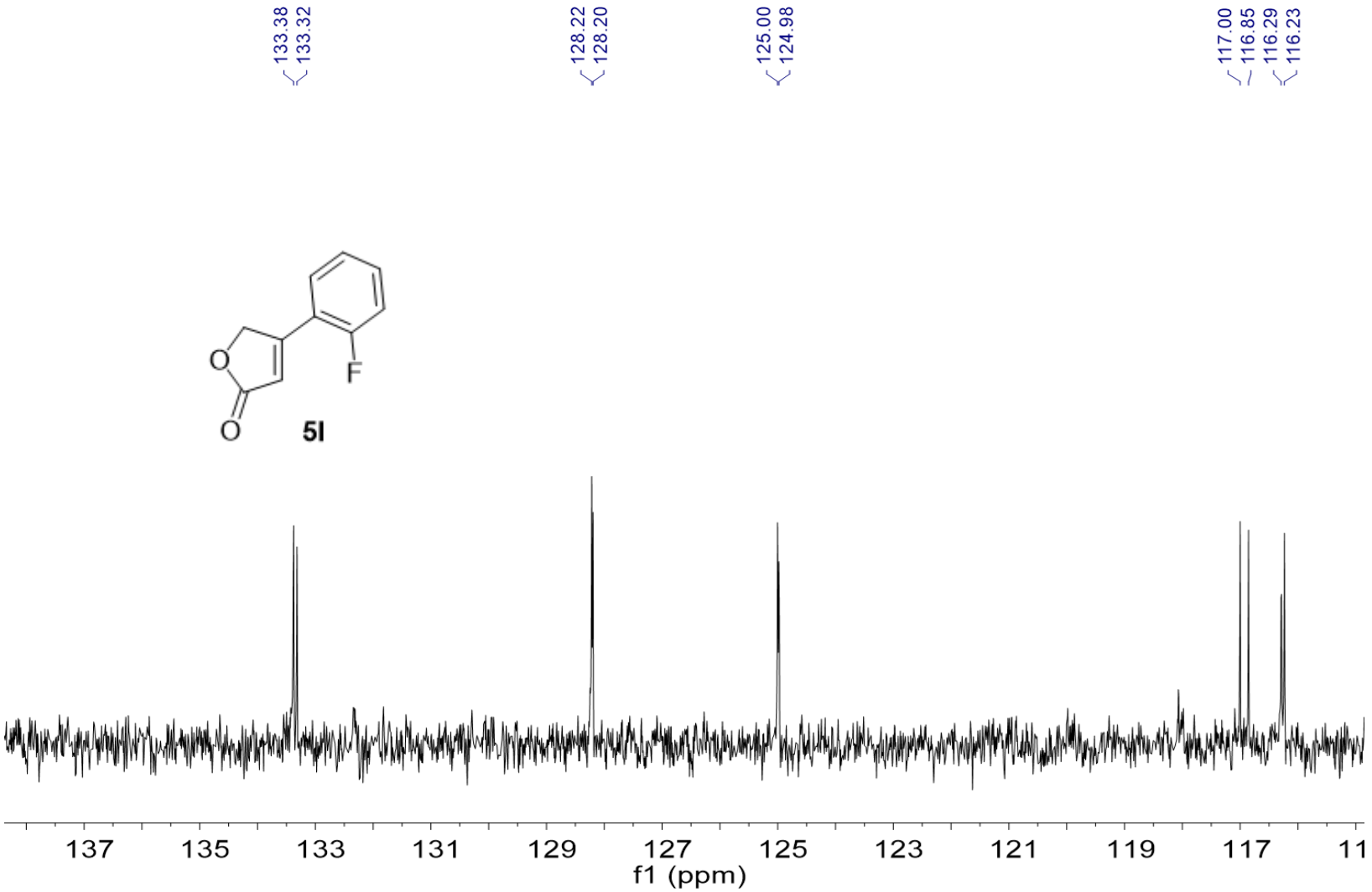

Figure S70. Local magnification ^{13}C NMR spectrum of **3w** in $CDCl_3$ (150 MHz) at 23℃.

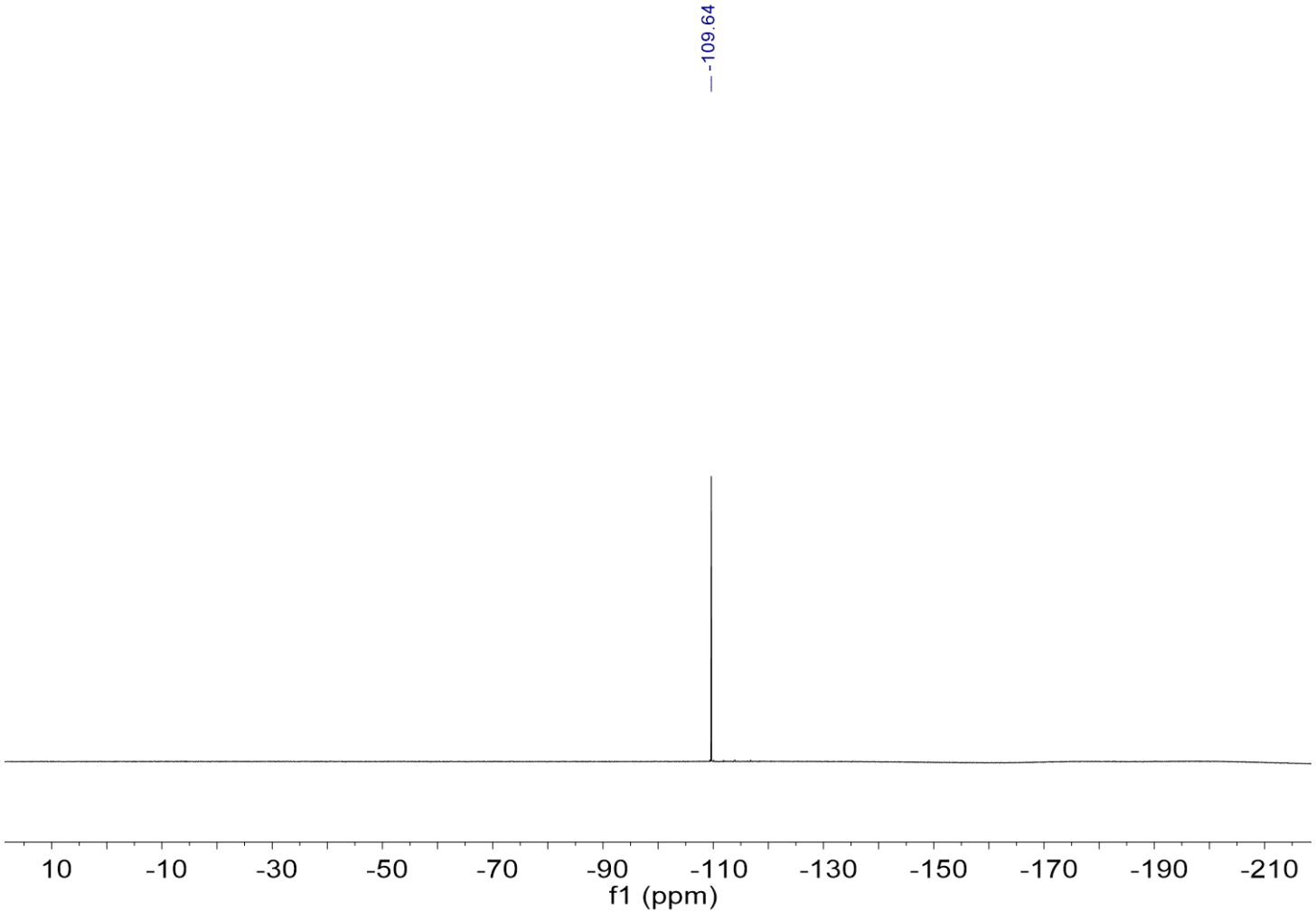

Figure S71. ^{19}F NMR spectrum of **5l** in $CDCl_3$ (376 MHz) at 23°C.

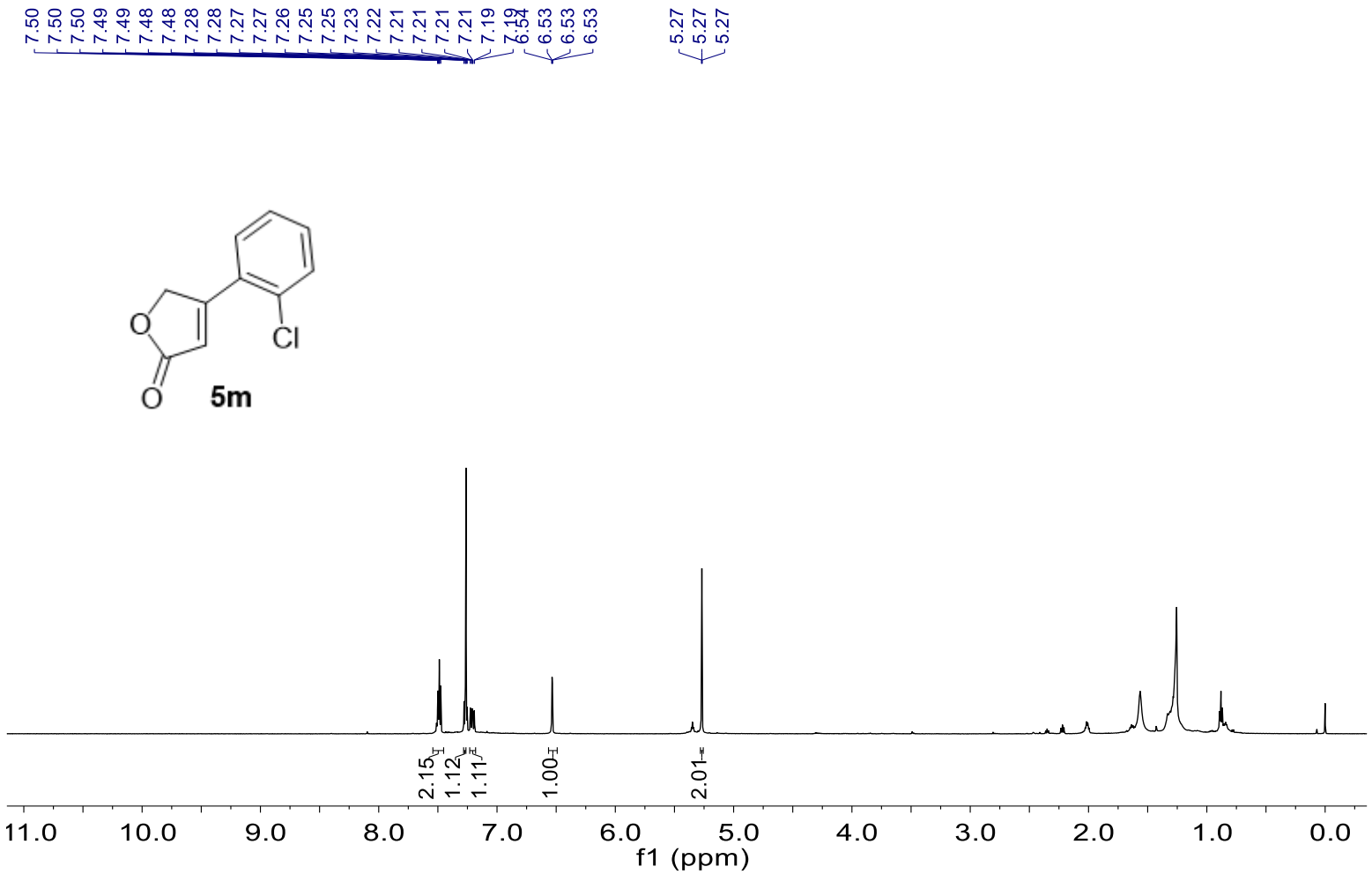

Figure S72. ^{1}H NMR spectrum of **5m** in $CDCl_3$ (600 MHz) at 23°C.

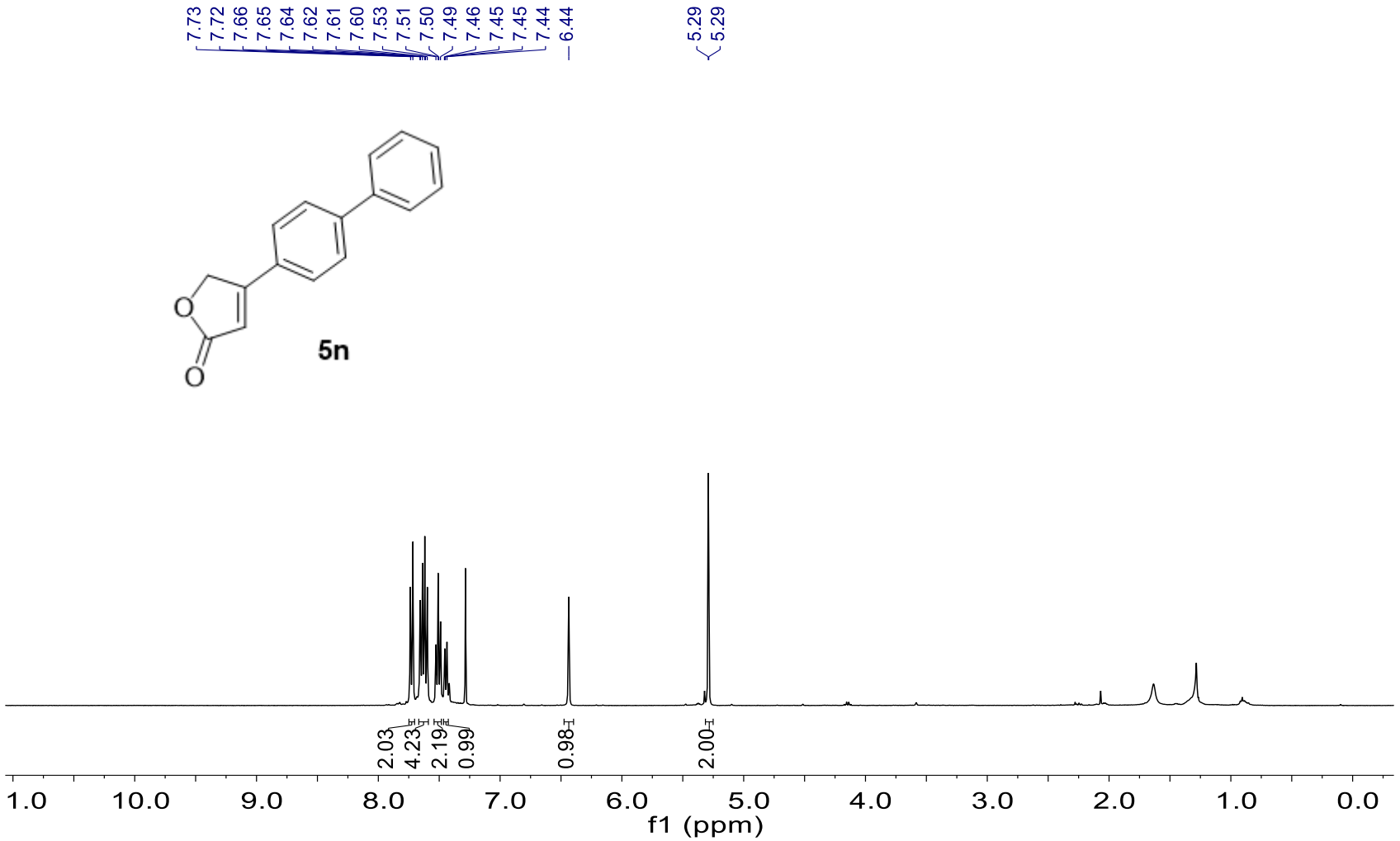

Figure S73. ^{1}H NMR spectrum of **5n** in $CDCl_3$ (400 MHz) at 23℃.

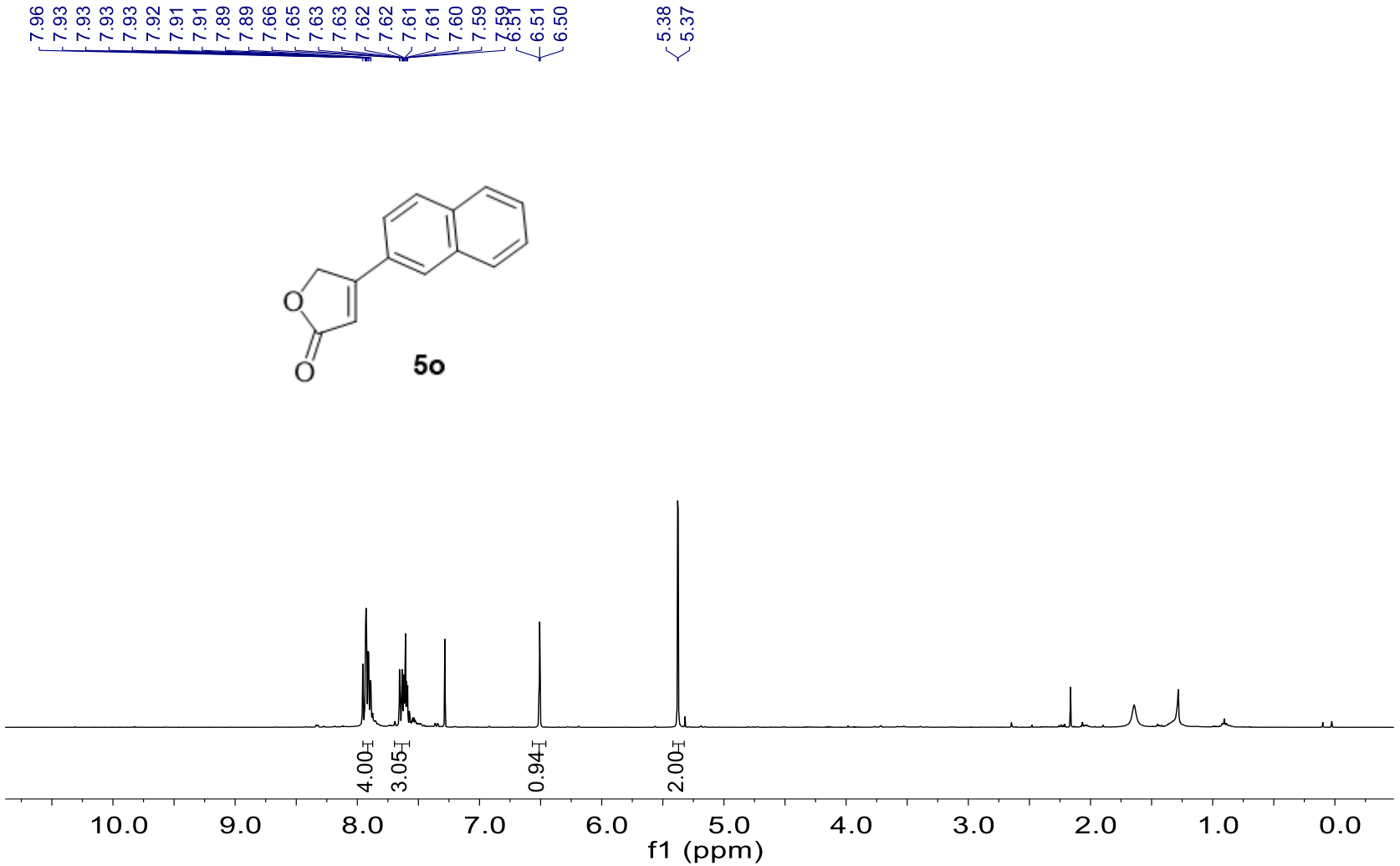

Figure S74. ^{1}H NMR spectrum of **5o** in $CDCl_3$ (400 MHz) at 23℃.

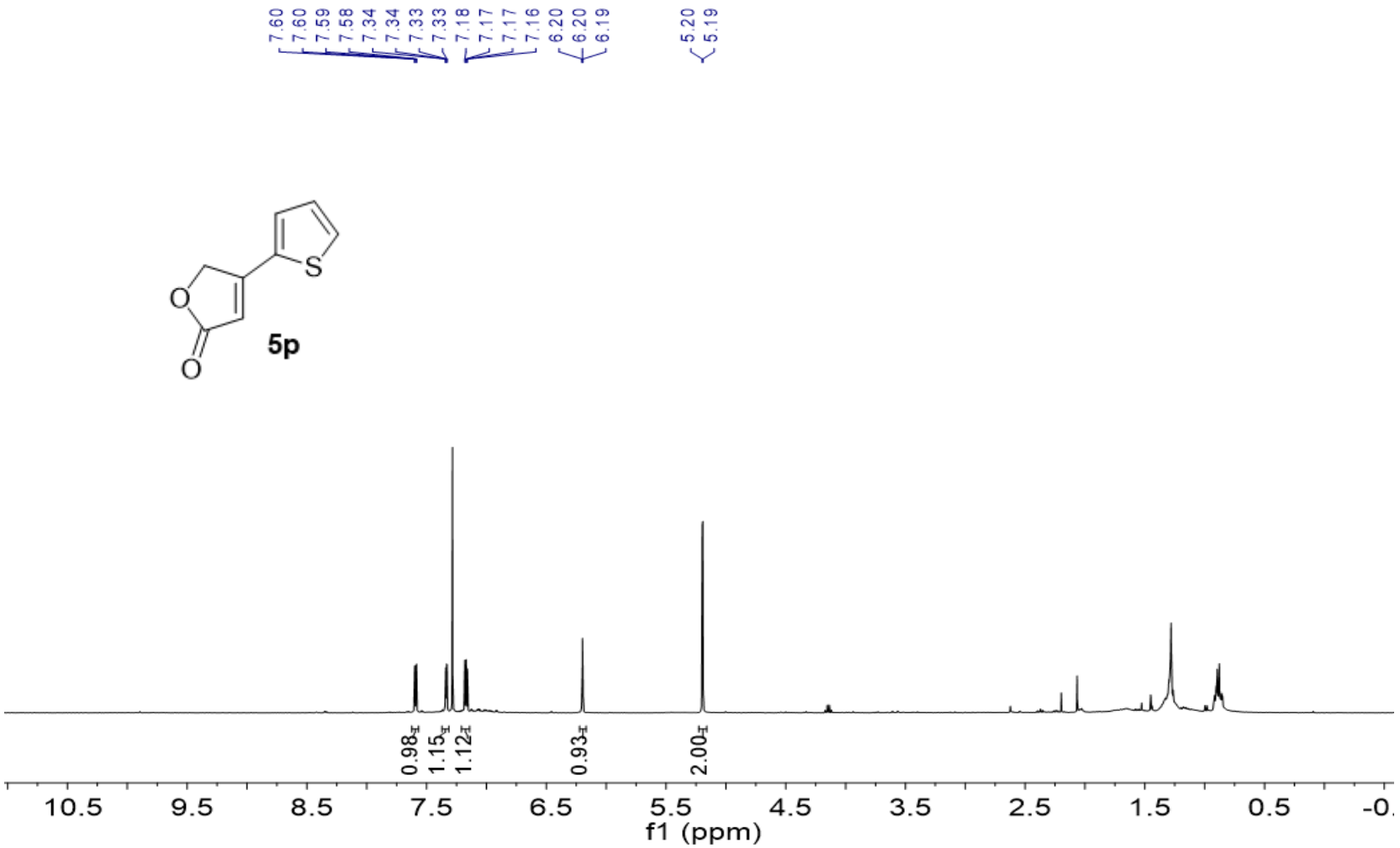

Figure S75. ^{1}H NMR spectrum of **5P** in $CDCl_3$ (400 MHz) at 23℃.

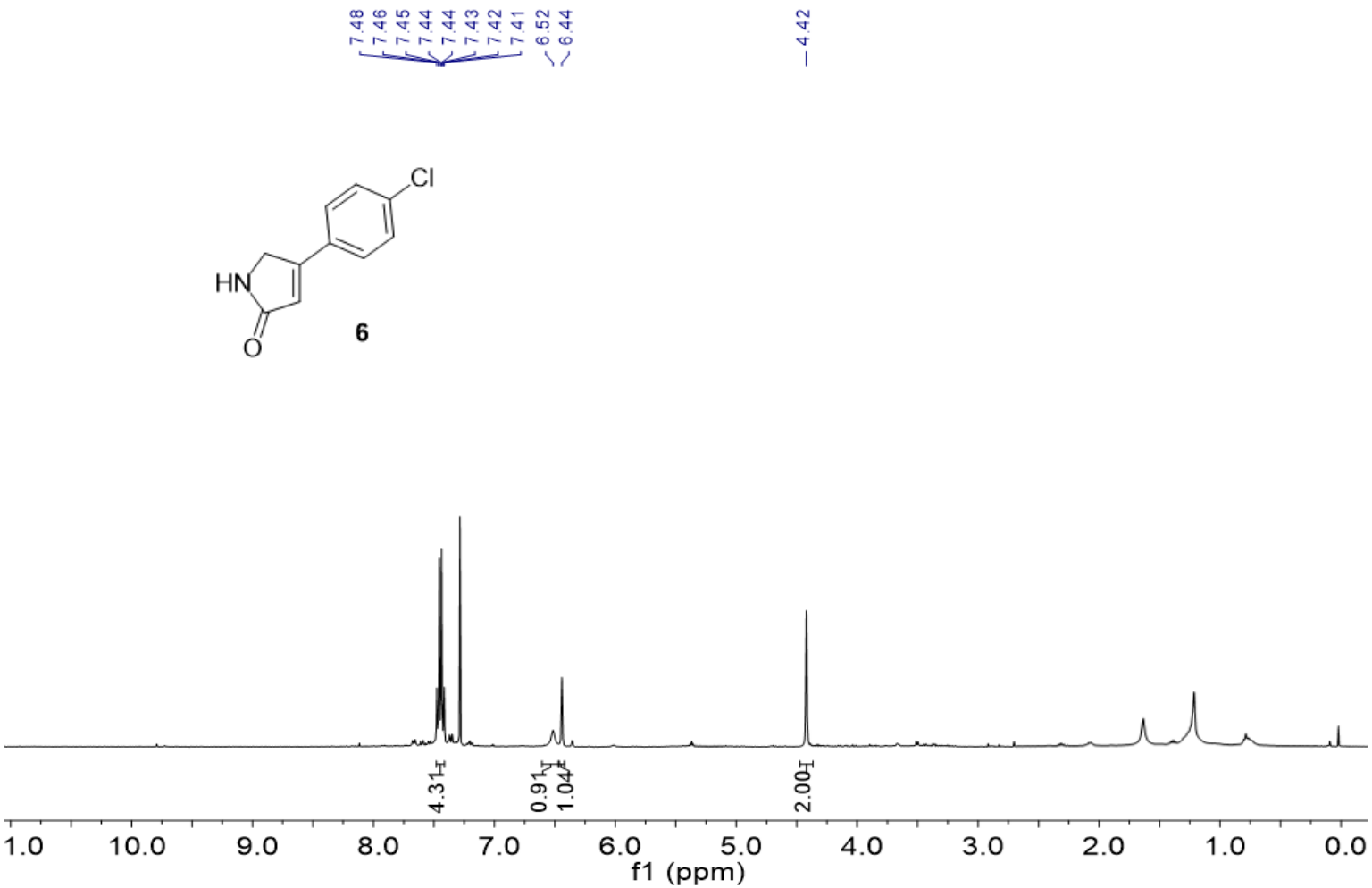

Figure S76. ^{1}H NMR spectrum of **6** in $CDCl_3$ (400 MHz) at 23°C.

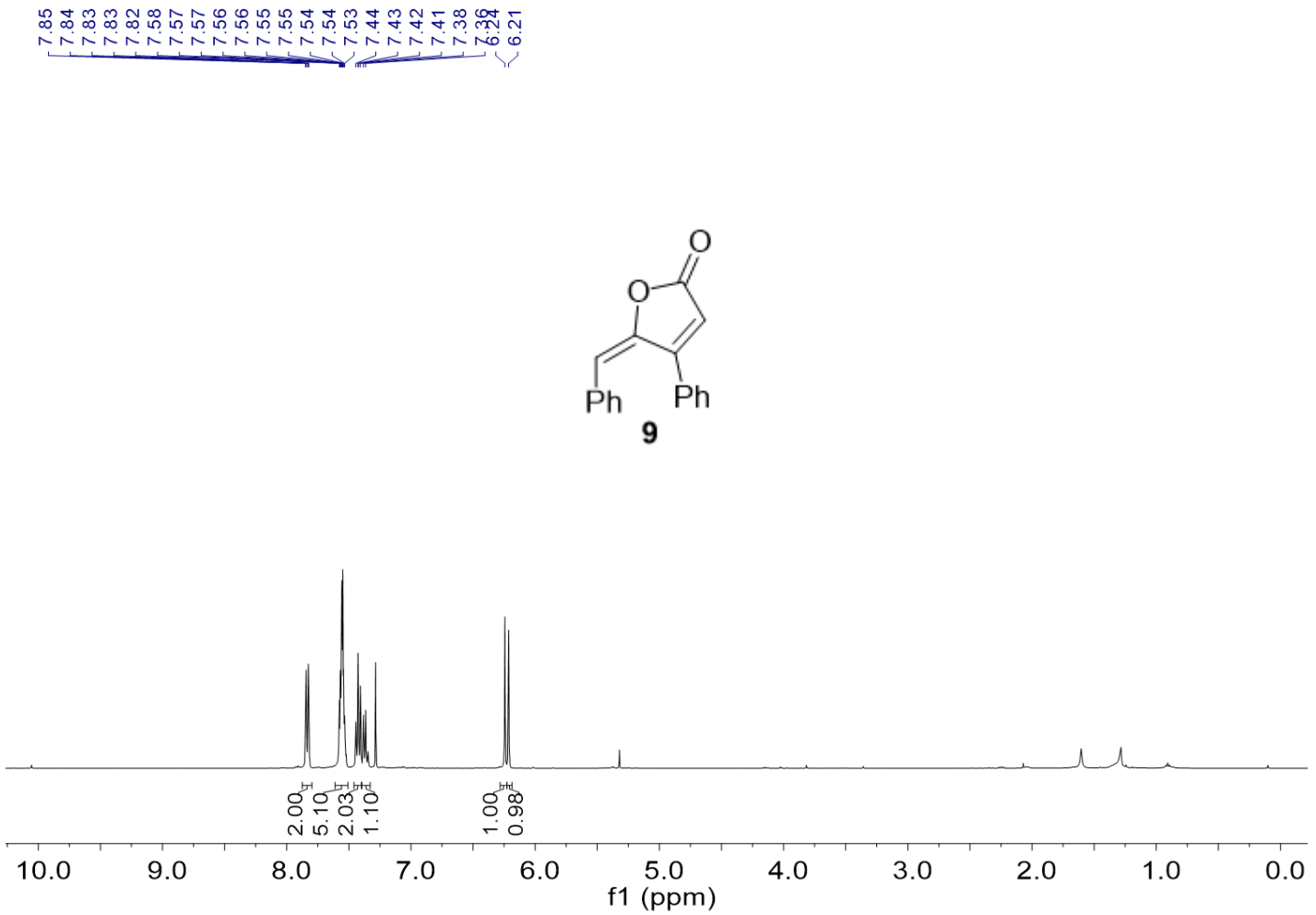

Figure S77. ^{1}H NMR spectrum of **9** in $CDCl_3$ (400 MHz) at 23°C.