Electronic Supplementary Information

HTE and machine learning-assisted development of iridium(I)-catalyzed selective O–H bond insertion reactions toward carboxymethyl ketones

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1. General Information

Materials. Reactions were carried out under a nitrogen atmosphere in oven-dried Schlenk tubes unless otherwise specified. The heat source is IKA magnetic stirrer with RCT Basic. Reagents were purchased from commercial suppliers (Energy, Aladdin, Bidepharm, Alfa Aesar, Sigma-Aldrich, and J&K Scientific) and used with no further purification. Catalysts and ligands were stored in glovebox. Anhydrous solvents in sure-seal bottle were purchased from Energy and used with no further purification. All reactions were set up inside Vigor glovebox with constant N_2 purge (oxygen typically < 5 ppm). Organic solutions were concentrated under reduced pressure on a Heidolph rotary evaporator using a water bath.

Instruments. ^{1}H and ^{13}C NMR spectra were recorded at either 500 MHz (^{13}C at 125 MHz) or 600 MHz (^{13}C at 150 MHz) on Bruker Avance III 500 MHz or Bruker Avance III 600 MHz spectrometer, as indicated. NMR spectra run in solutions of deuterated chloroform ($CDCl_3$) with residual chloroform as internal standard (7.26 ppm for ^{1}H, and 77.00 ppm for ^{13}C) or in solutions of deuterated dimethyl sulfoxide (DMSO-d_6) with residual dimethyl sulfoxide as internal standard (2.50 ppm for ^{1}H, and 39.50 ppm for ^{13}C), and chemical shifts were reported in parts per million (ppm). ^{19}F NMR spectra were recorded on a Bruker Avance III 600 MHz (^{19}F at 564 MHz), and were reported unreferenced. Abbreviations for signal multiplicity are as follow: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublet, etc. Coupling constants (*J* values) were calculated directly from the spectra. Flash column chromatography was performed on silica gel (300–400 mesh) with the solvents given in the procedures. Thin layer chromatographic (TLC) analysis was performed with glass-backed silica gel plates, visualizing with UV light (254 nm). Liquid was handled with Eppendorf continuous manual dispenser and/or pipetting with Opentrons 8-channels pipetting device. The high resolution mass spectra (HRMS) were measured on a Waters Xevo G2-XS using electrospray ionization time-of-flight (ESI-TOF).

Standard Workflow

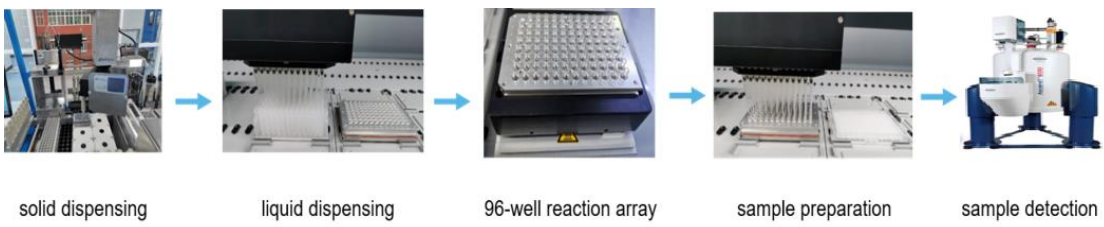
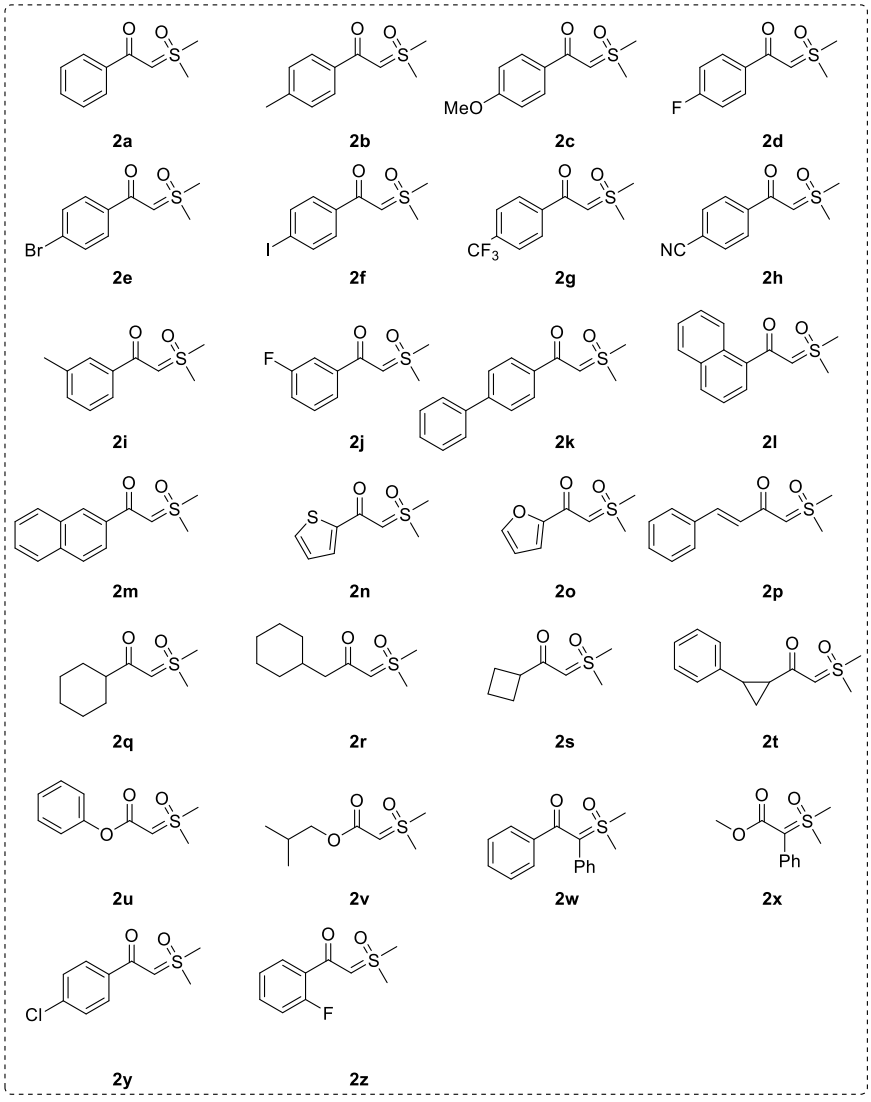


Figure S1. A 900 μL-glass tube equipped with a magnetic stir bar (C3*5 mm) was charged with catalyst (0.004

mmol, 4 mol %), ligand (0.008 mmol, 8 mol %), carboxylic acid (0.10 mmol, 1.0 equiv), and sulfoxonium ylide (0.20 mmol, 2.0 equiv); then DCE (0.5 mL) was added. The reaction mixture was stirred at 110 ºC for 12 h under N_2. After completion of the reaction, the reaction mixture was cooled to room temperature, the plate was opened and add internal standard to each well (100 μL of 1.0 M 1,3,5-trimethoxybenzene solution in MeOH). The Opentrons 8-channels pipetting device was used to add 200 μL MeOH to each well, mixed dissolution. Then, the Opentrons 8-channels pipetting device was used to transfer 200 μL of reaction liquor to a deep well plate (each well, 2 mL). At that point, organic solutions for 2 mL deep well plate were concentrated under reduced pressure on a miniVac at 37 ºC for 4 h under 10 mbar. Finally, pipette was used to add 300 μL $CDCl_3$ to each well, mixed dissolution, were sampled into 3 mm NMR tube and analyzed by ^1H NMR.

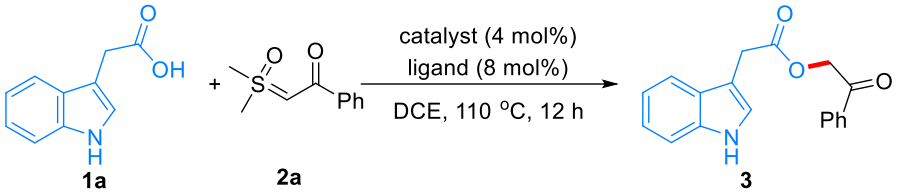
2. Sulfoxonium Ylide Substrates

The following sulfoxonium ylides were used in this study and were prepared according to the previous literature.[1–3]



3. General Experimental Procedure

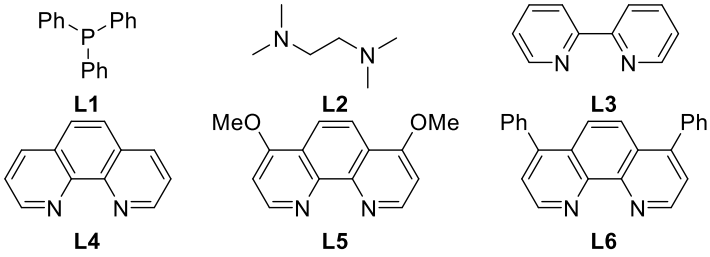
Table S1. HTE screening of catalyst and ligand for O–H bond insertion reaction of 1a and 2a[a]



catalyst

C1: $[Ir(COD)Cl]_2$
C2: $[Ir(COD)OMe]_2$
C3: $[Ir(COE)_2Cl]_2$
C4: $[Cp^*IrCl_2]_2$
C5: $[Rh(OAc)_2]_2$
C6: $Ru(acac)_3$
C7: CuI

ligand



Layout of catalyst and ligand Optimization

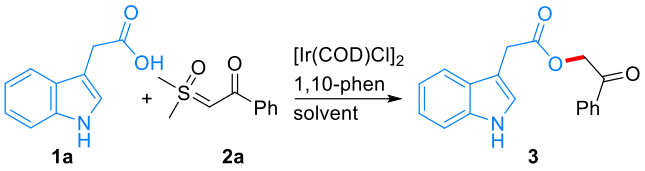
	1	2	3	4	5	6	7
A	**C1+L1**	**C1+L2**	**C1+L3**	**C1+L4**	**C1+L5**	**C1+L6**	**C1+none**
B	**C2+L1**	**C2+L2**	**C2+L3**	**C2+L4**	**C2+L5**	**C2+L6**	**C2+none**
C	**C3+L1**	**C3+L2**	**C3+L3**	**C3+L4**	**C3+L5**	**C3+L6**	**C3+none**
D	**C4+L1**	**C4+L2**	**C4+L3**	**C4+L4**	**C4+L5**	**C4+L6**	**C4+none**
E	**C5+L1**	**C5+L2**	**C5+L3**	**C5+L4**	**C5+L5**	**C5+L6**	**C5+none**
F	**C6+L1**	**C6+L2**	**C6+L3**	**C6+L4**	**C6+L5**	**C6+L6**	**C6+none**
G	**C7+L1**	**C7+L2**	**C7+L3**	**C7+L4**	**C7+L5**	**C7+L6**	**C7+none**
H	**none+L1**	**none+L2**	**none+L3**	**none+L4**	**none+L5**	**none+L6**	**none+none**

Reaction Yield (%) of 3a Presented as Heatmap

	1	2	3	4	5	6	7
A	50	43	78	91	87	79	35
B	13	8	27	31	29	28	16
C	33	34	40	51	43	39	19
D	37	36	49	63	50	55	25
E	6	6	5	7	5	8	4
F	10	11	8	15	13	14	10
G	5	3	2	0	0	0	0
H	0	0	4	5	0	0	0

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.2 mmol), catalyst (4 mol%), and ligand (8 mol%) in DCE (500 μL) at 110 ℃ under N_2 for 12 h. Yields were determined by ^1H NMR using 1,3,5-trimethoxybenzene as internal standard.

Table S2. Screening of solvent and temperature for O–H bond insertion reaction of 1a and 2a[a]

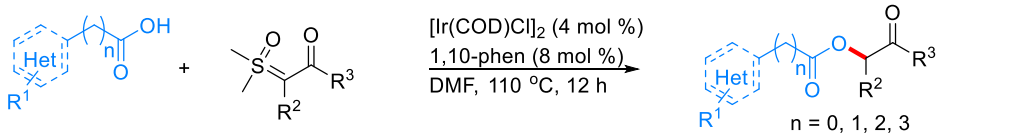


entry	cat.	ligand	solovent	temp (°C)	yield (%)[b]
1	$[Ir(COD)Cl]_2$	**L4**	THF	110	55
2	$[Ir(COD)Cl]_2$	**L4**	1,4-dioxane	110	62
3	$[Ir(COD)Cl]_2$	**L4**	toluene	110	77
4	$[Ir(COD)Cl]_2$	**L4**	EtOH	110	30
5	$[Ir(COD)Cl]_2$	**L4**	DMF	110	95
6	$[Ir(COD)Cl]_2$	**L4**	DMSO	110	80
7	$[Ir(COD)Cl]_2$	**L4**	DMF	90	75
8	$[Ir(COD)Cl]_2$	**L4**	DMF	130	86

[a]Reaction conditions: **1a** (0.1 mmol), **2a** (0.2 mmol), $[Ir(COD)Cl]_2$ (**C1**, 4 mol%), and 1,10-phen (**L4**, 8 mol%) in solvent (0.5 mL) at 110 ℃ under N_2 for 12 h. [b]Yields were determined by ^1H NMR using 1,3,5-trimethoxybenzene as internal standard.

Solvent screening showed that DMF was the best solvent and the product **3** was obtained in 95% yield (Table S2, entries 1−6). Both decreased and elevated temperatures had negative effects on the yields (Table S2, entries 7 and 8).

b) General Experimental Procedure for the Synthesis of Carboxymethyl Ketones

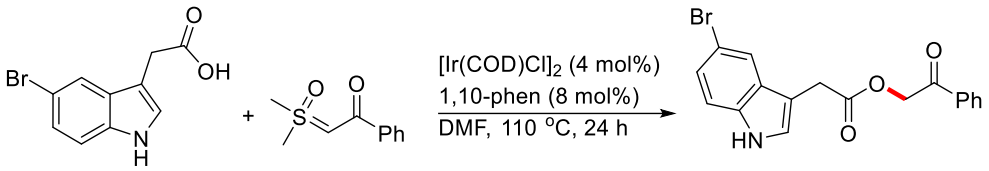


(1.0 equiv) (2.0 equiv)

R^1 = alkyl, aryl, F, Cl, Br, OMe, OH, NH_2, NHMe
R^3 = aryl, heteroaryl, alky, aryloxy, alkoxy, etc.

A 900 μL-glass tube equipped with a magnetic stir bar (C3*5 mm) and was charged with $[Ir(COD)Cl]_2$ (2.7 mg, 0.004 mmol, 4 mol%), 1,10-phen (1.4 mg, 0.008 mmol, 8 mol%), carboxylic acid (0.10 mmol, 1.0 equiv), and sulfoxonium ylide (0.20 mmol, 2.0 equiv); then DMF (0.5 mL) was added. The plate was sealed and stirred (820 r/min in IKA RCT basic) at 110 ºC for 12 h under N_2. After completion, the reaction mixture was cooled to room temperature. The crude product was extracted with ethyl acetate (3 × 3.0 mL), and the solution was washed with saturated solution of NH_4Cl (3.0 mL), and dried over anhydrous Na_2SO_4 and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel to give the desired products.

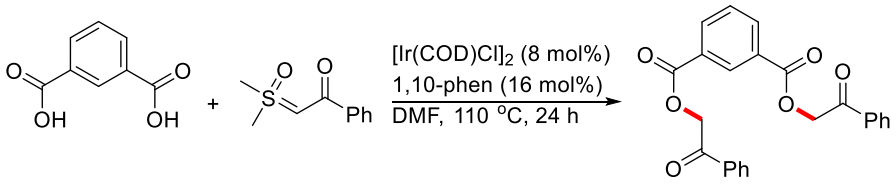
c) Gram-Scale Synthesis of 6



4 mmol **2**, 8 mmol **6**, 1.087 g, 73%

An oven dried Schlenk tube of 100 mL equipped with a magnetic stir bar was charged with $[Ir(COD)Cl]_2$ (108 mg, 0.16 mmol, 4 mol %) and 1,10-phen (57.7 mg, 0.32 mmol, 8 mol %), 2-(5-bromo-1*H*-indol-3-yl)acetic acid (4.0 mmol, 1.0 equiv), and sulfoxonium ylide **2** (8.0 mmol, 2.0 equiv); then DMF (20 mL) was added. The reaction mixture was stirred (820 r/min in IKA RCT basic) at 110 °C for 24 h under N_2. After completion of the reaction, the reaction mixture was cooled to room temperature. The crude product was extracted with ethyl acetate (3 × 15 mL), and the solution was washed with saturated solution of NH_4Cl (15 mL), and dried over anhydrous Na_2SO_4 and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel to afford carboxymethyl ketone **6** in 73% yield (1.087 g).

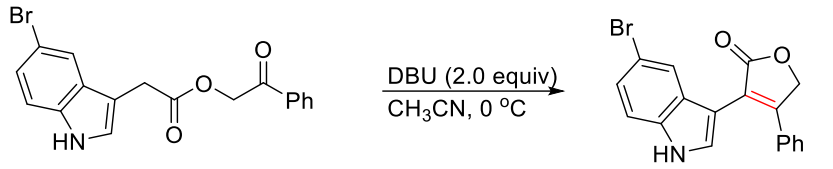
d) Synthesis of Carboxymethyl Ketone 73



69, 0.1 mmol **2a**, 4.0 equiv **70**, 30.0 mg, 67%

An oven dried Schlenk tube of 25 mL equipped with a magnetic stir bar was charged with $[Ir(COD)Cl]_2$ (5.4 mg, 0.008 mmol, 8 mol%) and 1,10-phen (2.9 mg, 0.016 mmol, 16 mol%), isophthalic acid **69** (0.1 mmol, 1.0 equiv), and sulfoxonium ylide **2a** (0.4 mmol, 4.0 equiv); then DMF (1.0 mL) was added. The reaction mixture was stirred (820 r/min in IKA RCT basic) at 110 °C for 24 h under N_2. After completion of the reaction, the reaction mixture was cooled to room temperature. The crude product was extracted with ethyl acetate (3 × 3.0 mL), and the solution was washed with saturated solution of NH_4Cl (3.0 mL), and dried over anhydrous Na_2SO_4 and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel to afford carboxymethyl ketone **70** in 67% yield (30.0 mg).

e) Synthesis of Cyclized Product 74

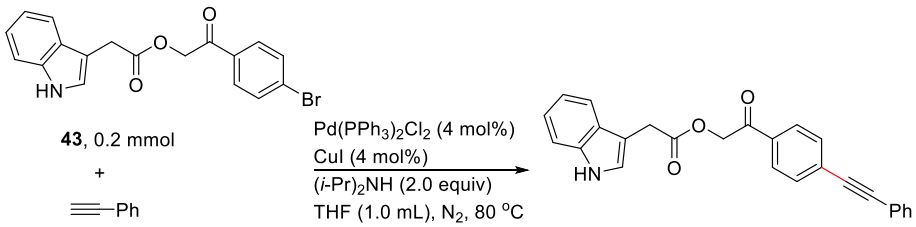


6, 0.2 mmol

71, 55.8 mg, 79%

The preparation of this compound was performed according to a literature reference.[4] A Schlenk tube of 25 mL equipped with a magnetic stir bar was charged with carboxymethyl ketone **6** (74.4 mg, 0.2 mmol) in CH_3CN (1.0 mL); then, DBU (0.4 mmol, 2.0 equiv) was added. Then the reaction mixture was stirred at 0 °C for 24 h under air. After completion of the reaction, the reaction mixture was cooled to room temperature. The crude product was extracted with ethyl acetate (3 × 3.0 mL), and the solution was washed with saturated solution of NH_4Cl (3.0 mL), and dried over anhydrous Na_2SO_4 and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel to afford cyclized product **71** in 79% yield (55.8 mg).

f) Synthesis of Alkynyl Functionalized Carboxymethyl Ketone 73



43, 0.2 mmol

+

Ph

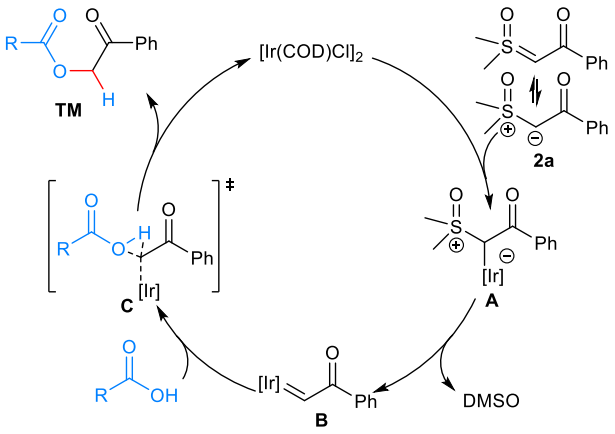
72, 1.2 equiv

73, 65.3 mg, 83%

The preparation of this compound was performed according to a literature reference.[5] A Schlenk tube of 25 mL equipped with a magnetic stir bar was charged with $Pd(PPh_3)_2Cl_2$ (5.6 mg, 0.008 mmol, 4 mol%) and CuI (1.5 mg, 0.008 mmol, 4 mol%) in THF (1.0 mL); then, Br-substituted carboxymethyl ketone **43** (0.2 mmol), phenylacetylene (**72**, 0.24 mmol, 1.2 equiv), and $(i\text{-Pr})_2NH$ (0.4 mmol, 2.0 equiv) were added. Then the reaction mixture was stirred at 100 °C for 24 h under N_2. After the starting material was consumed, the reaction mixture was quenched with 3 N HCl solution, extracted with dichloromethane, washed with water and brine followed by drying over anhydrous Na_2SO_4 and concentrated in vacuo. The crude product was purified by flash column chromatography on silica gel to give the desired product (**73**, 65.3 mg, 83%).

4. Reaction Mechanism

Scheme S1. Proposed Reaction Mechanism



First, the coordination of sulfoxonium ylide **2a** to $[Ir(COD)Cl]_2$ species generates intermediate **A**, which then undergoes an elimination to release one molecule of dimethyl sulfoxide, leading to highly active iridium carbene intermediate **B**. Subsequently, insertion of the O–H bond of carboxylic acid into **B** via the transition state **C** produces the desired esterification product (**TM**) with concomitant regeneration of the iridium catalyst.

5. HTE for Substrate Scope

a) Reaction Setup

A 900 μL-glass tube equipped with a magnetic stir bar (C3*5 mm) and was charged with $[Ir(cod)Cl]_2$ (0.08 M in DMF, 10 μL, 4 mol%) and 1,10-phenanthroline (0.16 M in DMF, 10 μL, 8 mol%). Then, sulfoxonium ylide (1.0 M in DMF, 40 μL, 2.0 equiv), carboxylic acid (0.5 M in DMF, 40 μL, 0.02 mmol) were added. The plate was sealed and stirred (820 r/min in IKA RCT basic) at 110 ºC for 12 h under N_2. After completion, the reaction mixture was cooled to room temperature, the plate was opened and internal standard was added to each well (100 μL of 0.2 M 1,3,5-trimethoxybenzene solution in MeOH). The Opentrons 8-channels pipetting device was used to add 200 μL MeOH to each well, mixed dissolution. Then, the Opentrons 8-channels pipetting device was used to transfer 200 μL of reaction liquor to a deep well plate (each well, 2 mL). At that point, organic solutions for 2 mL deep well plate were concentrated under reduced pressure on a miniVac at 50 ºC for 5 h under 10 mbar. Finally, pipette was used to add 300 μL $CDCl_3$ to each well, mixed dissolution, were sampled into 3 mm NMR tube and analyzed by ^1H NMR.

b) Plate Layout

Under optimal conditions, below is a summary **(Figure S2**) of other 80 carboxylic acids and 9 sulfoxonium ylides used in this work, furnishing 352 scattered of micromolar reactions via HTE.

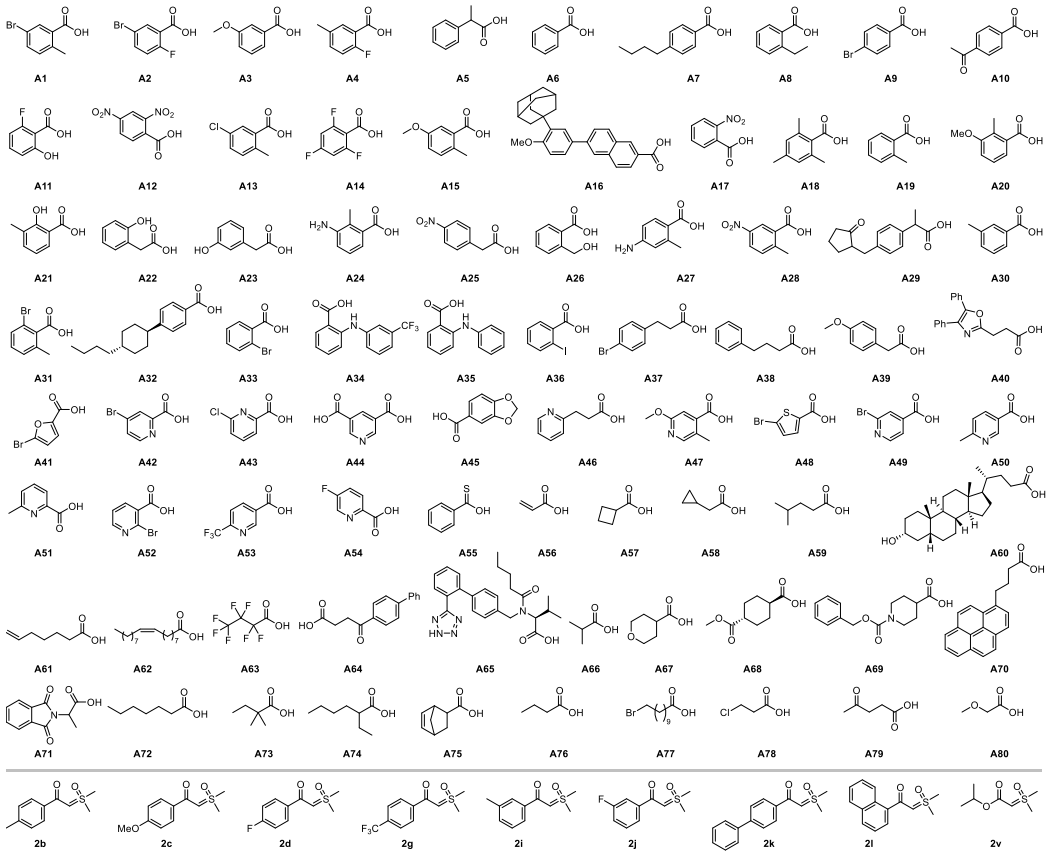


Figure S2. All reaction components for 352 scattered of micromolar reactions.

Table S3−S7 describe the components of each well for each plate.

Table S3. Layout of plate 1.

	1	2	3	4	5	6	7	8	9	10
A	**A1/2c**	**A9/2c**	**A17/2c**	**A25/2c**	**A33/2c**	**A41/2c**	**A49/2c**	**A57/2c**	**A65/2c**	**A73/2c**
B	**A2/2c**	**A10/2c**	**A18/2c**	**A26/2c**	**A34/2c**	**A42/2c**	**A50/2c**	**A58/2c**	**A66/2c**	**A74/2c**
C	**A3/2c**	**A11/2c**	**A19/2c**	**A27/2c**	**A35/2c**	**A43/2c**	**A51/2c**	**A59/2c**	**A67/2c**	**A75/2c**
D	**A4/2c**	**A12/2c**	**A20/2c**	**A28/2c**	**A36/2c**	**A44/2c**	**A52/2c**	**A60/2c**	**A68/2c**	**A76/2c**
E	**A5/2c**	**A13/2c**	**A21/2c**	**A29/2c**	**A37/2c**	**A45/2c**	**A53/2c**	**A61/2c**	**A69/2c**	**A77/2c**
F	**A6/2c**	**A14/2c**	**A22/2c**	**A30/2c**	**A38/2c**	**A46/2c**	**A54/2c**	**A62/2c**	**A70/2c**	**A78/2c**
G	**A7/2c**	**A15/2c**	**A23/2c**	**A31/2c**	**A39/2c**	**A47/2c**	**A55/2c**	**A63/2c**	**A71/2c**	**A79/2c**
H	**A8/2c**	**A16/2c**	**A24/2c**	**A32/2c**	**A40/2c**	**A48/2c**	**A56/2c**	**A64/2c**	**A72/2c**	**A80/2c**

Table S4. Layout of plate 2.

	1	2	3	4	5	6	7	8	9	10
A	**A1/2d**	**A9/2d**	**A17/2d**	**A25/2d**	**A33/2d**	**A41/2d**	**A49/2d**	**A57/2d**	**A65/2d**	**A73/2d**
B	**A2/2d**	**A10/2d**	**A18/2d**	**A26/2d**	**A34/2d**	**A42/2d**	**A50/2d**	**A58/2d**	**A66/2d**	**A74/2d**
C	**A3/2d**	**A11/2d**	**A19/2d**	**A27/2d**	**A35/2d**	**A43/2d**	**A51/2d**	**A59/2d**	**A67/2d**	**A75/2d**
D	**A4/2d**	**A12/2d**	**A20/2d**	**A28/2d**	**A36/2d**	**A44/2d**	**A52/2d**	**A60/2d**	**A68/2d**	**A76/2d**
E	**A5/2d**	**A13/2d**	**A21/2d**	**A29/2d**	**A37/2d**	**A45/2d**	**A53/2d**	**A61/2d**	**A69/2d**	**A77/2d**
F	**A6/2d**	**A14/2d**	**A22/2d**	**A30/2d**	**A38/2d**	**A46/2d**	**A54/2d**	**A62/2d**	**A70/2d**	**A78/2d**
G	**A7/2d**	**A15/2d**	**A23/2d**	**A31/2d**	**A39/2d**	**A47/2d**	**A55/2d**	**A63/2d**	**A71/2d**	**A79/2d**
H	**A8/2d**	**A16/2d**	**A24/2d**	**A32/2d**	**A40/2d**	**A48/2d**	**A56/2d**	**A64/2d**	**A72/2d**	**A80/2d**

Table S5. Layout of plate 3.

	1	2	3	4	5	6	7	8	9	10
A	**A1/2j**	**A9/2j**	**A17/2j**	**A25/2j**	**A33/2j**	**A41/2j**	**A49/2j**	**A57/2j**	**A65/2j**	**A73/2j**
B	**A2/2j**	**A10/2j**	**A18/2j**	**A26/2j**	**A34/2j**	**A42/2j**	**A50/2j**	**A58/2j**	**A66/2j**	**A74/2j**
C	**A3/2j**	**A11/2j**	**A19/2j**	**A27/2j**	**A35/2j**	**A43/2j**	**A51/2j**	**A59/2j**	**A67/2j**	**A75/2j**
D	**A4/2j**	**A12/2j**	**A20/2j**	**A28/2j**	**A36/2j**	**A44/2j**	**A52/2j**	**A60/2j**	**A68/2j**	**A76/2j**
E	**A5/2j**	**A13/2j**	**A21/2j**	**A29/2j**	**A37/2j**	**A45/2j**	**A53/2j**	**A61/2j**	**A69/2j**	**A77/2j**
F	**A6/2j**	**A14/2j**	**A22/2j**	**A30/2j**	**A38/2j**	**A46/2j**	**A54/2j**	**A62/2j**	**A70/2j**	**A78/2j**
G	**A7/2j**	**A15/2j**	**A23/2j**	**A31/2j**	**A39/2j**	**A47/2j**	**A55/2j**	**A63/2j**	**A71/2j**	**A79/2j**
H	**A8/2j**	**A16/2j**	**A24/2j**	**A32/2j**	**A40/2j**	**A48/2j**	**A56/2j**	**A64/2j**	**A72/2j**	**A80/2j**

Table S6. Layout of plate 4.

	1	2	3	4	5	6
A	**A33/2g**	**A41/2g**	**A49/2g**	**A57/2g**	**A65/2b**	**A73/2b**
B	**A34/2g**	**A42/2g**	**A50/2g**	**A58/2g**	**A66/2b**	**A74/2b**
C	**A35/2g**	**A43/2g**	**A51/2g**	**A59/2g**	**A67/2b**	**A75/2b**
D	**A36/2g**	**A44/2g**	**A52/2g**	**A60/2g**	**A68/2b**	**A76/2b**
E	**A37/2g**	**A45/2g**	**A53/2g**	**A61/2g**	**A69/2b**	**A77/2b**
F	**A38/2g**	**A46/2g**	**A54/2g**	**A62/2g**	**A70/2b**	**A78/2b**
G	**A39/2g**	**A47/2g**	**A55/2g**	**A63/2g**	**A71/2b**	**A79/2b**
H	**A40/2g**	**A48/2g**	**A56/2g**	**A64/2g**	**A72/2b**	**A80/2b**

Table S7. Layout of plate 5.

	1	2	3	4	5	6	7	8
A	**A1/2l**	**A71/2l**	**A1/2i**	**A71/2i**	**A1/2v**	**A71/2v**	**A1/2k**	**A71/2k**
B	**A2/2l**	**A72/2l**	**A2/2i**	**A72/2i**	**A2/2v**	**A72/2v**	**A2/2k**	**A72/2k**
C	**A3/2l**	**A73/2l**	**A3/2i**	**A73/2i**	**A3/2v**	**A73/2v**	**A3/2k**	**A73/2k**
D	**A4/2l**	**A74/2l**	**A4/2i**	**A74/2i**	**A4/2v**	**A74/2v**	**A4/2k**	**A74/2k**
E	**A5/2l**	**A75/2l**	**A5/2i**	**A75/2i**	**A5/2v**	**A75/2v**	**A5/2k**	**A75/2k**
F	**A6/2l**	**A76/2l**	**A6/2i**	**A76/2i**	**A6/2v**	**A76/2v**	**A6/2k**	**A76/2k**
G	**A7/2l**	**A77/2l**	**A7/2i**	**A77/2i**	**A7/2v**	**A77/2v**	**A7/2k**	**A77/2k**
H	**A8/2l**	**A78/2l**	**A8/2i**	**A78/2i**	**A8/2v**	**A78/2v**	**A8/2k**	**A78/2k**

c) Yield Determination

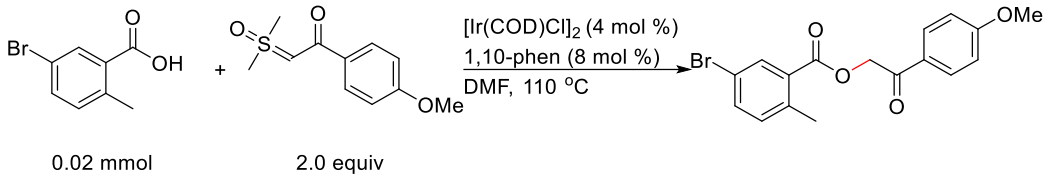


Figure S3. Reaction summary for plate 1, row 1, column 1.

In light of the unique ^{1}H NMR shift of the α-H of carboxymethyl ketones, we were able to identify the reaction yield by ^{1}H NMR analysis. The reaction above (**Figure S3**) was set up in 96-well plate 1 (80 reactions) following the HTE protocol described in the general section. After 24 h, the reaction was analyzed by ^{1}H NMR ($CDCl_3$) and the yield determined using 1,3,5-trimethoxybenzene as an internal standard (**Figure S4** and Table S8).

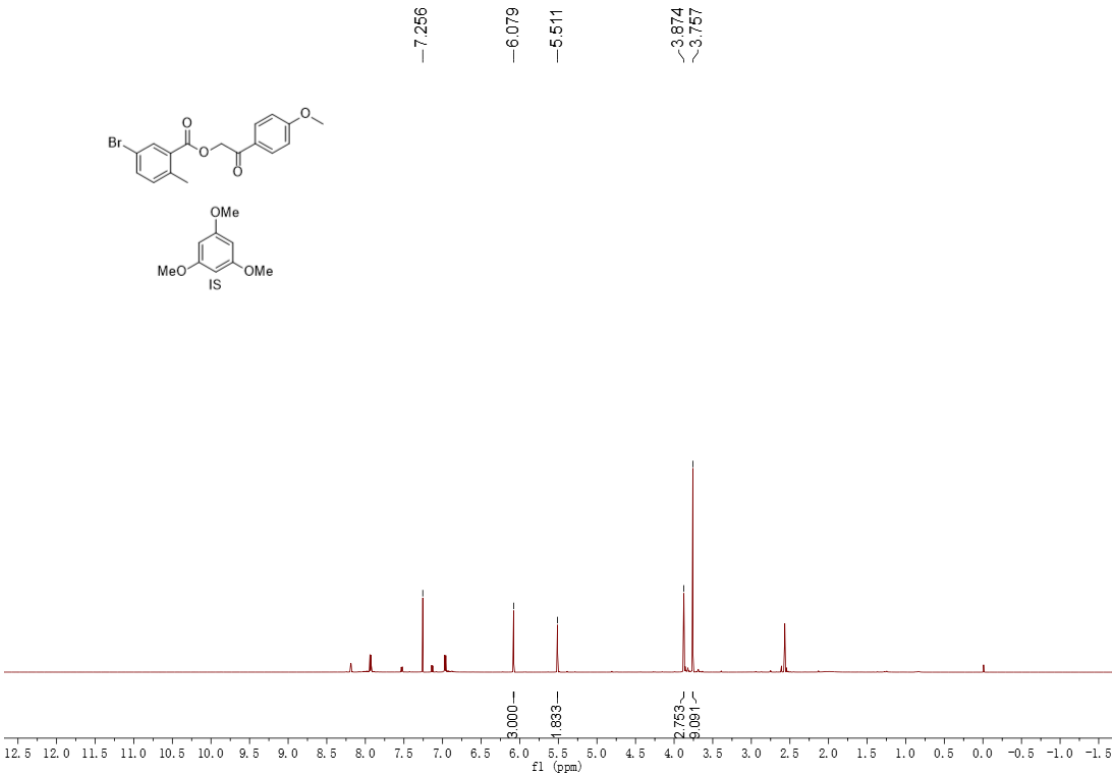


Figure S4. Crude ^{1}H NMR spectra for plate 1, row 1, column 1.

Table S8. Chemical shifts of characteristic peaks of product and internal standard (^{1}H NMR).

entry	characteristic peak	chemical shift	results
product	sp^3 H (s, 2H)	5.51 ppm	1.83, 92% yield
	OMe (s, 3H)	3.87 ppm	2.75, 92% yield
internal standard	sp^2 H (s, 3H)	6.08 ppm	3
	OMe (s, 9H)	3.76 ppm	9

d) Reaction Yield Presented as Heatmap

	1	2	3	4	5	6	7	8	9	10
A	92	95	78	0	92	91	88	81	20	83
B	86	93	95	89	85	79	83	76	91	94
C	96	79	90	42	89	95	92	74	89	82
D	91	42	93	85	83	9	89	68	91	93
E	96	96	70	92	89	90	93	74	87	57
F	96	82	49	92	88	74	88	68	94	39
G	85	95	86	91	91	15	75	6	93	94
H	93	47	80	88	93	89	42	84	90	92

Figure S5. Plate 1 yields (%).

	1	2	3	4	5	6	7	8	9	10
A	83	98	80	15	87	89	85	41	12	80
B	78	87	85	93	83	53	67	38	41	35
C	71	81	88	36	87	91	85	27	69	42
D	82	47	85	85	65	7	75	31	46	47
E	76	91	87	59	47	61	84	33	57	67
F	70	79	38	75	45	58	68	30	63	33
G	72	90	67	94	44	6	70	3	88	56
H	75	61	75	69	52	93	12	39	55	89

Figure S6. Plate 2 yields (%).

	1	2	3	4	5	6	7	8	9	10
A	92	93	85	8	93	93	93	87	12	78
B	86	92	78	89	94	75	91	66	84	81
C	90	75	88	48	88	96	92	58	82	74
D	87	45	91	86	82	9	91	52	87	87
E	93	95	84	91	92	95	92	34	88	77
F	91	75	33	76	65	70	92	47	85	41
G	87	93	73	92	84	7	51	2	87	93
H	95	55	78	91	89	91	28	85	89	87

Figure S7. Plate 3 yields (%).

	1	2	3	4	5	6
A	91	90	88	82	13	88
B	85	60	91	44	95	83
C	89	90	90	58	93	81
D	85	7	73	56	90	87
E	89	93	89	65	90	60
F	70	60	89	63	91	46
G	82	3	46	2	86	90
H	87	95	31	83	86	85

Figure S8. Plate 4 yields (%).

	1	2	3	4	5	6	7	8
A	55	63	77	84	76	80	83	85
B	59	40	81	68	81	41	78	49
C	51	45	82	63	71	33	76	54
D	57	43	87	65	79	36	80	52
E	55	42	77	57	45	45	79	40
F	56	49	84	72	72	43	81	58
G	58	40	73	46	63	66	75	59
H	58	25	84	37	64	32	81	40

Figure S9. Plate 5 yields (%).

6. HTE for 235 Unknown Reactions

a) Plate Layout

Under optimal conditions, we performed the 235 reactions for external dataset prediction via THE, which were randomly designed by experimenters. As shown in **Figure S10**, those new reactions included some unseen substrates, such as carboxylic acids (**A81**−**A95**) and sulfoxonium ylides (**2y** and **2z**).

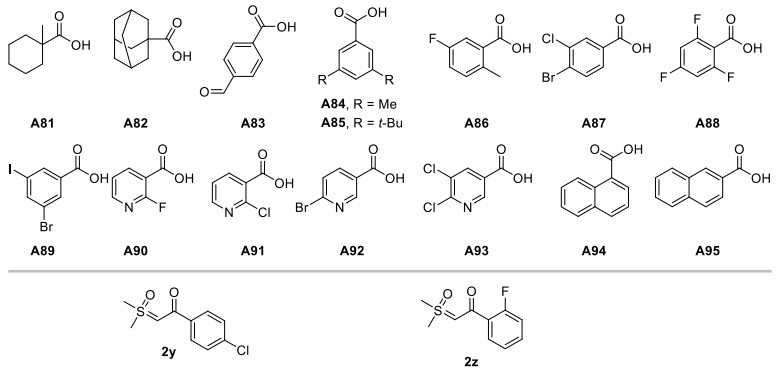


Figure S10. Unseen substrates.

Table S9−S11 describe the components of each well for each plate (95 carboxylic acids and 7 sulfoxonium ylides).

Table S9. Layout of plate 6.

	1	2	3	4	5	6	7	8	9	10
A	**A1/2z**	**A9/2z**	**A17/2z**	**A25/2z**	**A33/2z**	**A41/2z**	**A49/2z**	**A57/2z**	**A65/2z**	**A73/2z**
B	**A2/2z**	**A10/2z**	**A18/2z**	**A26/2z**	**A34/2z**	**A42/2z**	**A50/2z**	**A58/2z**	**A66/2z**	**A74/2z**
C	**A3/2z**	**A11/2z**	**A19/2z**	**A27/2z**	**A35/2z**	**A43/2z**	**A51/2z**	**A59/2z**	**A67/2z**	**A75/2z**
D	**A4/2z**	**A12/2z**	**A20/2z**	**A28/2z**	**A36/2z**	**A44/2z**	**A52/2z**	**A60/2z**	**A68/2z**	**A76/2z**
E	**A5/2z**	**A13/2z**	**A21/2z**	**A29/2z**	**A37/2z**	**A45/2z**	**A53/2z**	**A61/2z**	**A69/2z**	**A77/2z**
F	**A6/2z**	**A14/2z**	**A22/2z**	**A30/2z**	**A38/2z**	**A46/2z**	**A54/2z**	**A62/2z**	**A70/2z**	**A78/2z**
G	**A7/2z**	**A15/2z**	**A23/2z**	**A31/2z**	**A39/2z**	**A47/2z**	**A55/2z**	**A63/2z**	**A71/2z**	**A79/2z**
H	**A8/2z**	**A16/2z**	**A24/2z**	**A32/2z**	**A40/2z**	**A48/2z**	**A56/2z**	**A64/2z**	**A72/2z**	**A80/2z**

Table S10. Layout of plate 7.

	1	2	3	4	5	6	7	8	9	10
A	**A1/2n**	**A9/2n**	**A17/2n**	**A25/2n**	**A33/2e**	**A41/2e**	**A49/2e**	**A57/2e**	**A65/2y**	**A73/2y**
B	**A2/2n**	**A10/2n**	**A18/2n**	**A26/2n**	**A34/2e**	**A42/2e**	**A50/2e**	**A58/2e**	**A66/2y**	**A74/2y**
C	**A3/2n**	**A11/2n**	**A19/2n**	**A27/2n**	**A35/2e**	**A43/2e**	**A51/2e**	**A59/2e**	**A67/2y**	**A75/2y**
D	**A4/2n**	**A12/2n**	**A20/2n**	**A28/2n**	**A36/2e**	**A44/2e**	**A52/2e**	**A60/2e**	**A68/2y**	**A76/2y**
E	**A5/2n**	**A13/2n**	**A21/2n**	**A29/2n**	**A37/2e**	**A45/2e**	**A53/2e**	**A61/2e**	**A69/2y**	**A77/2y**
F	**A6/2n**	**A14/2n**	**A22/2n**	**A30/2n**	**A38/2e**	**A46/2e**	**A54/2e**	**A62/2e**	**A70/2y**	**A78/2y**
G	**A7/2n**	**A15/2n**	**A23/2n**	**A31/2n**	**A39/2e**	**A47/2e**	**A55/2e**	**A63/2e**	**A71/2y**	**A79/2y**
H	**A8/2n**	**A16/2n**	**A24/2n**	**A32/2n**	**A40/2e**	**A48/2e**	**A56/2e**	**A64/2e**	**A72/2y**	**A80/2y**

Table S11. Layout of plate 8.

	1	2	3	4	5	6	7	8	9	10
A	**A81/2c**	**A89/2c**	**A81/2j**	**A89/2j**	**A81/2z**	**A89/2z**	**A81/2y**	**A89/2y**	**A81/2b**	**A89/2b**
B	**A82/2c**	**A90/2c**	**A82/2j**	**A90/2j**	**A82/2z**	**A90/2z**	**A82/2y**	**A90/2y**	**A82/2b**	**A90/2b**
C	**A83/2c**	**A91/2c**	**A83/2j**	**A91/2j**	**A83/2z**	**A91/2z**	**A83/2y**	**A91/2y**	**A83/2b**	**A91/2b**
D	**A84/2c**	**A92/2c**	**A84/2j**	**A92/2j**	**A84/2z**	**A92/2z**	**A84/2y**	**A92/2y**	**A84/2b**	**A92/2b**
E	**A85/2c**	**A93/2c**	**A85/2j**	**A93/2j**	**A85/2z**	**A93/2z**	**A85/2y**	**A93/2y**	**A85/2b**	**A93/2b**
F	**A86/2c**	**A94/2c**	**A86/2j**	**A94/2j**	**A86/2z**	**A94/2z**	**A86/2y**	**A94/2y**	**A86/2b**	**A94/2b**
G	**A87/2c**	**A95/2c**	**A87/2j**	**A95/2j**	**A87/2z**	**A95/2z**	**A87/2y**	**A95/2y**	**A87/2b**	**A95/2b**
H	**A88/2c**		**A88/2j**		**A88/2z**		**A88/2y**		**A88/2b**	

b) Reaction Yield Presented as Heatmap

	1	2	3	4	5	6	7	8	9	10
A	78	91	66	5	88	91	86	41	11	52
B	61	83	83	87	75	60	74	43	54	53
C	76	67	63	36	72	88	87	32	84	45
D	89	38	91	66	67	7	66	28	64	48
E	71	84	69	59	80	64	61	39	70	65
F	91	55	27	76	59	35	53	38	81	40
G	55	92	63	92	45	8	46	5	81	78
H	85	51	56	77	80	76	28	60	53	91

Figure S11. Plate 6 yields (%).

	1	2	3	4	5	6	7	8	9	10
A	93	94	83	8	90	96	95	80	14	73
B	87	71	86	88	84	70	88	70	85	64
C	91	70	72	44	90	96	82	50	89	57
D	94	45	90	93	73	8	81	65	87	75
E	89	81	87	80	86	82	90	62	80	51
F	90	79	33	81	67	67	75	42	84	43
G	79	93	62	93	72	6	54	3	92	76
H	91	50	71	85	93	93	29	73	73	94

Figure S12. Plate 7 yields (%).

	1	2	3	4	5	6	7	8	9	10
A	86	90	75	90	56	78	67	90	80	95
B	92	77	75	91	46	61	64	87	90	91
C	84	90	82	92	84	94	81	93	82	93
D	92	93	93	88	91	83	97	97	92	95
E	88	89	93	95	90	85	94	86	89	91
F	91	94	95	95	85	86	93	90	95	90
G	93	90	93	92	76	83	94	88	95	93
H	87		92		65		92		86	

Figure S13. Plate 8 yields (%).

7. Development of Machine Learning Model

a) Preparation

All codes were executed in KNIME (the Konstanz Information Miner), which is a free and open-source data analytics platform and can be easily used by chemists without programming background. All workflows for modelling were provided in https://hub.knime.com/theliaogroup/spaces/O-H_bond_insertion. PanGu Fine-tuned model was available at http://www.pangu-drug.com/ylide.

b) Date Set

In our study, two datasets were used, one dataset for modelling and one dataset for external validation. The SMILES of carboxylic acids, sulfoxonium ylides, and products, as well as the corresponding yields were included in datasets.

(1) Data set A (412 reaction data), including 60 examples (**3**−**62**) of millimolar reactions and 352 micromolar reactions (random combinations of another 80 carboxylic acids and 9 sulfoxonium ylides). The yield distribution of the 412 reactions (**Figure S14**) showed that over 90% of the reactions gave desired products, which demonstrated that our reaction was generally applicable for a wider range of substrates.

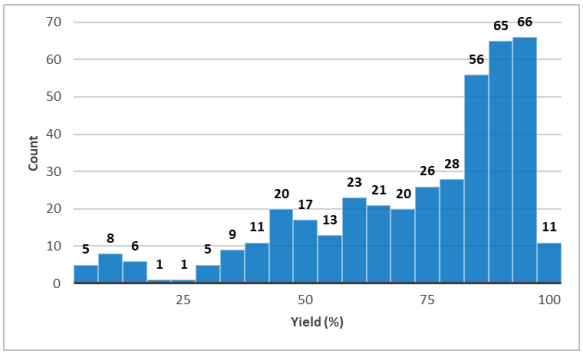


Figure S14. Yield distribution of the 412 reactions.

(2) Data set B (235 unknown reaction data) included 15 unseen carboxylic acids and 2 unseen sulfoxonium ylides, which were used as an external set for the model built from dataset. We used dataset A to build model, and then used dataset B as an external validation for the model built from dataset A.

(3) As shown in the **Figure S15**, dataset A was split as 80/20 at the work unit (named Partitioning), 330 reaction data were inputted the work unit [named XGBoost Tree Ensemble Learner (Regression)] as training set and the training set will be divided into two parts, training set and validation set, automatically by this work unit. But we cannot know how this unit divides the dataset. At the same time, remaining dataset A (evaluate set, including 82 reaction data) will be input to the work unit [named XGBoost Predictor (Regression)]. This unit is used to confirm if the hyper-parameters are best for models by the results (R^2, MAE and RMSE).

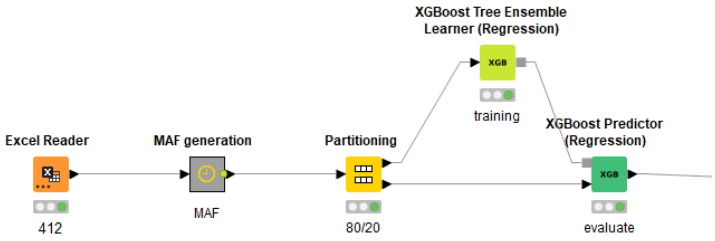


Figure S15. Part of the workflow of KNIME (one of the workflows).

c) Molecular additive fingerprints (MAF)

We provided a representation, molecular additive fingerprints (MAF) as inputs, that show the capacity of reaction prediction in good practices. The example for MAF development in this reaction were shown in **Figure S16**. Besides, we also employed commonly used descriptor for yield prediction: RDKit descriptors.

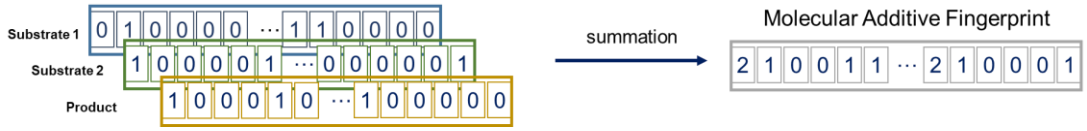


Figure S16. Example of the generation of MAF fingerprints in this reaction.

d) RDKit descriptors

RDKit descriptor generation was conducted in a KNIME workflow. In total, 119 descriptors were calculated for each reaction component using "RDKit Descriptors Calculation" node. The descriptors we used are as following:

SlogP, SMR, LabuteASA, TPSA, AMW, ExactMW, NumLipinskiHBA, NumLipinskiHBD, NumRotatableBonds, NumHBD, NumHBA, NumAmideBonds, NumHeteroAtoms, NumHeavyAtoms, NumAtoms, NumStereocenters, NumUnspecifiedStereocenters, NumRings, NumAromaticRings, NumSaturatedRings, NumAliphaticRings, NumAromaticHeterocycles, NumSaturatedHeterocycles, NumAliphaticHeterocycles, NumAromaticCarbocycles, NumSaturatedCarbocycles, NumAliphaticCarbocycles, FractionCSP3, Chi0v, Chi1v, Chi2v, Chi3v, Chi4v, Chi1n, Chi2n, Chi3n, Chi4n, HallKierAlpha, kappa1, kappa2, kappa3, slogp_VSA1, slogp_VSA2, slogp_VSA3, slogp_VSA4, slogp_VSA5, slogp_VSA6, slogp_VSA7, slogp_VSA8, slogp_VSA9, slogp_VSA10, slogp_VSA11, slogp_VSA12, smr_VSA1, smr_VSA2, smr_VSA3, smr_VSA4, smr_VSA5, smr_VSA6, smr_VSA7, smr_VSA8, smr_VSA9, smr_VSA10, peoe_VSA1, peoe_VSA2, peoe_VSA3, peoe_VSA4, peoe_VSA5, peoe_VSA6, peoe_VSA7, peoe_VSA8, peoe_VSA9, peoe_VSA10, peoe_VSA11, peoe_VSA12, peoe_VSA13, peoe_VSA14, MQN1, MQN2, MQN3, MQN4, MQN5, MQN6, MQN7, MQN8, MQN9, MQN10, MQN11, MQN12, MQN13, MQN14, MQN15, MQN16, MQN17, MQN18, MQN19, MQN20, MQN21, MQN22, MQN23, MQN24, MQN25, MQN26, MQN27, MQN28, MQN29, MQN30, MQN31, MQN32, MQN33, MQN34, MQN35, MQN36, MQN37, MQN38, MQN39, MQN40, MQN41, MQN42.

e) One-hot encoding

A one-hot encoding based on all available reaction substrates was generated. The bit value '0' or '1' corresponds to the absence or presence for specific reaction component. The creation of one-hot descriptor was done via a KNIME workflow and an array of one-hot encodings of substrates and products was calculated in "One to Many" node. As shown in **Figure S17**, the generation of one-hot encoding in substrate exploration, respectively.

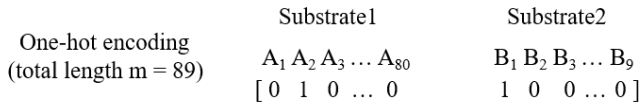


Figure S17. The generation of one-hot encoding in substrate exploration.

f) Machine Learning Methods

Four commonly used ML methods were proceeded for modelling, including eXtreme Gradient Boosting (XGB), Gradient Boosted Trees (GBT), Random Forest Regression (RF), and Support Vector Regression (SVR). 80% of the dataset (330 reactions) were used to train our regression model and the remaining 20% were used as test set (82 reactions). The model performance was then evaluated by coefficient of determination (R^2), mean absolute error (MAE), and root mean squared error (RMSE).

Table S12. The hyper-parameters of 4 models.

Model	Hyper-parameters
Random Forest	Enable Hilighting (#patterns to store) = 2000, tree depth = 100, Minimum node size = 5, number of models = 1000, Use static random seed = 68909
Gradient Tree Boosting	Limit number of levels = 10, number of models (n_estimators) = 100, learning rate = 0.1, alpha = 0.95
XGBoost	Boosting rounds = 150, Use static random seed = 68909, Manual numbers of threads = 4, Objective = 'linear', Booster = 'tree', Eta = 0.133, Gamma = 0, Maximum depth = 8, Minimum child weight = 6. Maximum delta step = 0, Subsampling rate = 0.8
SVR	Type of SVR = 'nu-SVM', Kernal = 'linear', Cost = 1, nu = 0.5, Cachesize = 1000, Epsilon = 0.001

Table S13. The hyper-parameters of XGB model for grid search.

Hyper-parameters	Considered values
booster	tree
eta	{0.1, **0.133**, 0.166, 0.199}
min child weight	{5, **6**, 7}
max depth	{6, **8**}
boosting rounds	{50, 100, **150**}

As shown in **Figure S18**, we can get the following page by open the work unit of model, and where we can change all kinds of hype-parameters of four models.

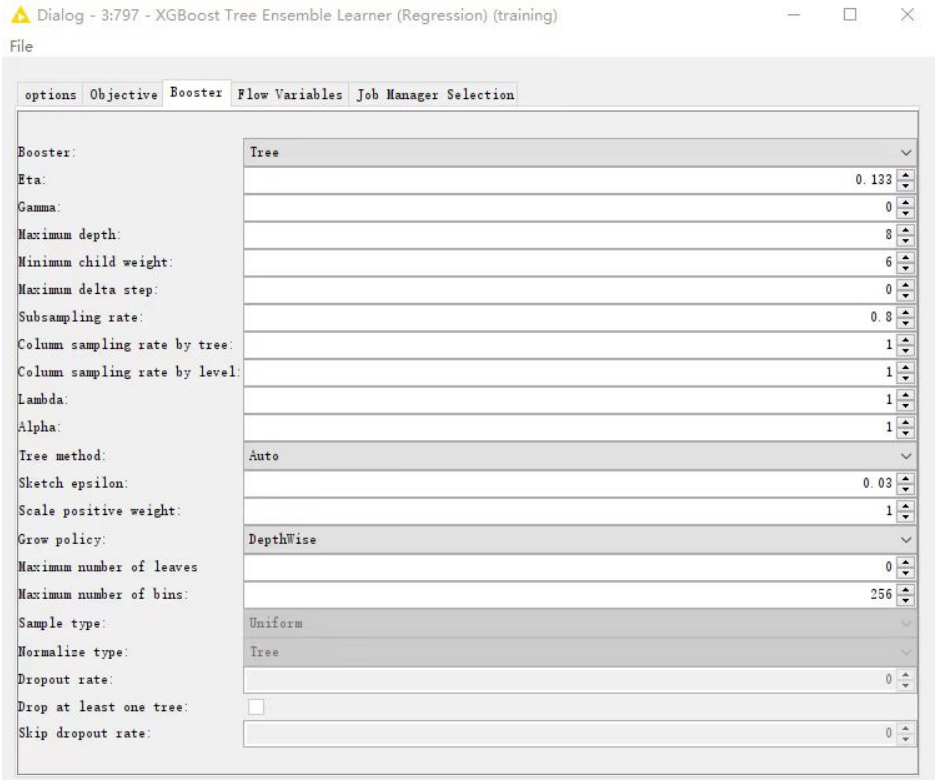


Figure 18. The page of changing hype-parameters.

g) The Development of ML-Based Models using MAF, RDKit and One-hot

As shown in Table S14, three types of descriptor were applied for model building and 12 models were obtained in total.

Table S14. The development of ML-based models using MAF, RDKit and One-hot.

model	MAF			RDKit			One-hot		
	R^2	RMSE	MAE	R^2	RMSE	MAE	R^2	RMSE	MAE
XGB	0.84	8.04	10.50	0.75	9.75	13.18	0.05	19.22	25.79
GBT	0.76	9.57	13.06	0.47	13.16	19.24	0.61	12.85	16.49
RF	0.49	14.91	18.88	0.62	12.90	16.39	0.02	20.91	26.25
SVR	0.60	12.21	16.76	0.76	10.56	13.09	0.09	18.91	25.27

h) The Development of PanGu Fine-tuned Model

As shown in **Figure S19,** PanGu Fine-tuned Model directly integrating the encoder of PanGu with a predictor, to make the encoder better capture the intrinsic pattern of the task, and the model parameters are learnable.

The size of PanGu Fingerprint is 2048, the hidden size of predictor is [512, 256]. We train the model using Adam optimizer at weight-decay 1e-11, the learning rate of predictor is 0.0001, while the learning rate of PanGu

Encoder is 1e-7. The batch size is 32.

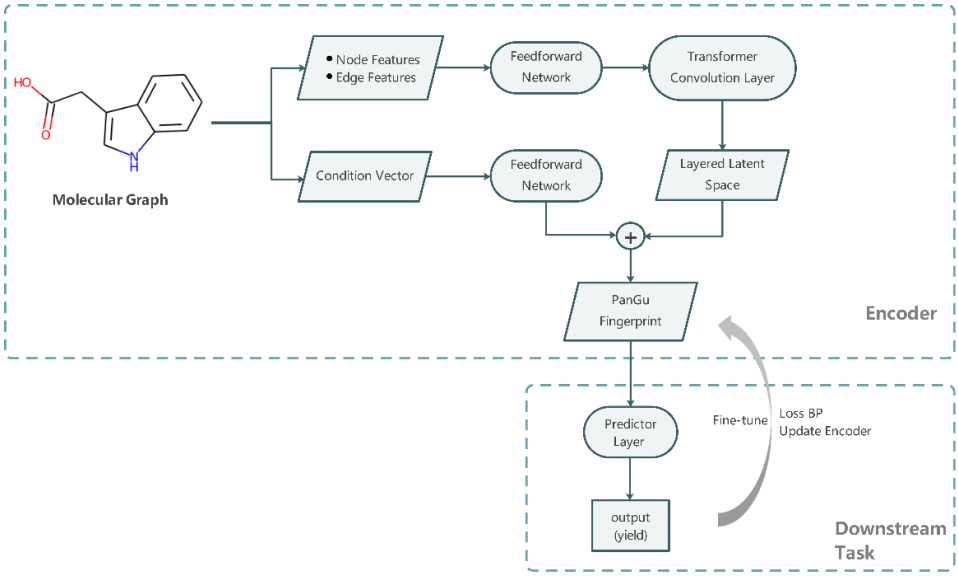


Figure S19. The diagram of PanGu Fine-tuned model.

i) Performance of PanGu Fine-tuned Model

As shown in **Figure S20**, Finetuning the pre-trained PanGu model deliver the best performance overall, with a result of R^2 value of 0.85, MAE of 6.2%, and RMSE of 9.4%.

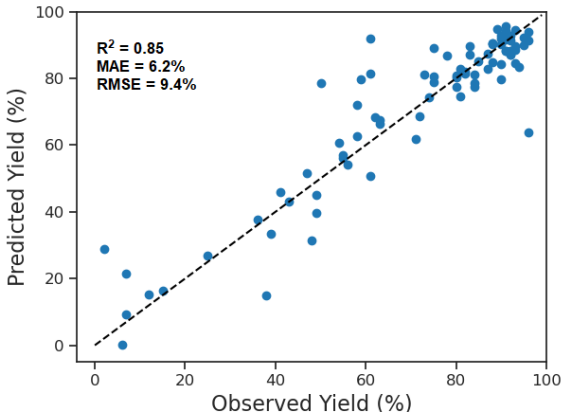


Figure S20. Prediction results on validation set (test set) for PanGu Fine-tuned model.

Under the obtained best model (PanGu Fine-tuned), we also evaluated 10 random splits of the entire data set (412 reaction data). The results are shown in Table S15, with a best result of R^2 value of 0.85, MAE of 6.2%, and RMSE of 9.4%.

Table S15. Results for 10 random splits of 412 reaction data.

splits	R^2	MAE	RMSE
splits 01	0.83	6.9	10.5
splits 02	0.85	7.1	9.0
splits 03	0.82	7.1	10.0
splits 04	0.83	6.9	10.1
splits 05	0.85	7.2	10.4
splits 06	0.84	7.0	9.3
splits 07	0.84	7.7	10.5
splits 08	0.82	6.9	10.4
splits 09	0.84	8.4	11.0
splits 10	0.85	6.2	9.4
average	0.84	7.1	10.1

j) Performance of External Dataset

Our best model in yield prediction (PanGu Fine-tuned, as shown in **Figure S20**) was then evaluated on external dataset conducted with HTE. The performance of external test is shown in **Figure S21**, with a result of R^2 value of 0.74, MAE of 8.8%, and RMSE of 11.2%.

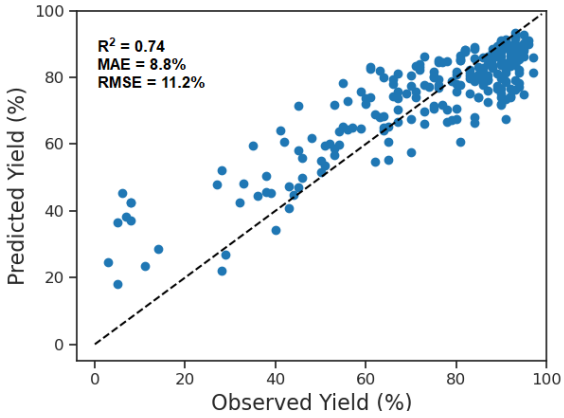
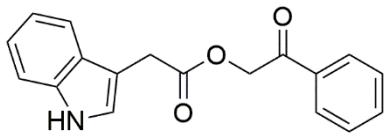


Figure S21. Regression plot for external dataset (235 scattered reactions).

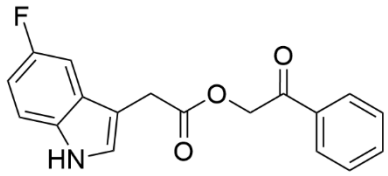
8. Characterization Data for the Products

2-oxo-2-phenylethyl 2-(1*H*-indol-3-yl)acetate (3)



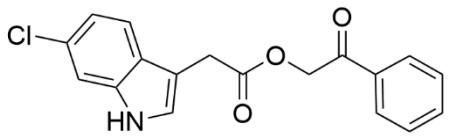
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 91% yield (26.7 mg). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.14 (br s, 1H), 7.89 (d, *J* = 7.7 Hz, 2H), 7.66 (d, *J* = 7.8 Hz, 1H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.4 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 1H), 7.25 (s, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 7.15 (t, *J* = 7.4 Hz, 1H), 5.36 (s, 2H), 3.98 (s, 2H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 192.3, 171.4, 136.1, 134.3, 133.8, 128.8, 127.8, 127.3, 123.3, 122.2, 119.7, 118.9, 111.2, 108.1, 66.3, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{15}NNaO_3^+$ ($[M+Na]^+$) 316.0944. found, 316.0951.

2-oxo-2-phenylethyl 2-(5-fluoro-1*H*-indol-3-yl)acetate (4)



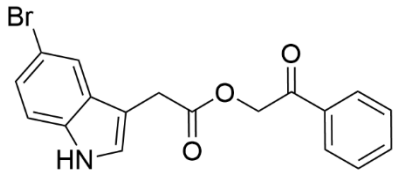
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 77% yield (23.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.43 (br s, 1H), 7.87 (d, *J* = 7.2 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 7.31–7.23 (m, 1H), 7.17 (dd, *J* = 8.8, 4.3 Hz, 1H), 7.09 (s, 1H), 6.93–6.83 (m, 1H), 5.36 (s, 2H), 3.88 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.4, 171.5, 158.6, 157.0, 133.9 (d, *J* = 3.3 Hz), 132.6, 128.8, 127.7, 127.5 (d, *J* = 9.9 Hz), 125.3, 111.9 (d, *J* = 9.6 Hz), 110.4 (d, *J* = 26.3 Hz), 107.7 (d, *J* = 4.7 Hz), 103.6 (d, *J* = 23.6 Hz), 66.3, 30.7. ^{19}F NMR (564 MHz, $CDCl_3$): δ -124.4 (s, 1F). HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{14}FNNaO_3^+$ ($[M+Na]^+$) 334.0850. found, 334.0866.

2-oxo-2-phenylethyl 2-(6-chloro-1*H*-indol-3-yl)acetate (5)



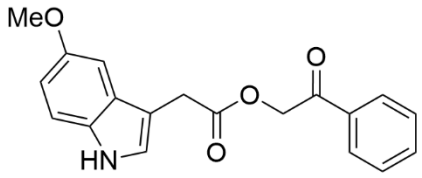
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 84% yield (27.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.26 (br s, 1H), 7.89 (d, *J* = 7.2 Hz, 2H), 7.60 (t, *J* = 7.4 Hz, 1H), 7.54 (d, *J* = 8.5 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 7.30 (s, 1H), 7.16 (s, 1H), 7.09 (d, *J* = 10.3 Hz, 1H), 5.37 (s, 2H), 3.93 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.2, 171.3, 136.4, 134.1, 133.9, 128.9, 128.1, 127.7, 125.8, 124.0, 120.4, 119.8, 111.1, 108.1, 66.35, 30.8. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{15}NNaO_3^+$ ([M+Na]$^+$) 350.0554. found, 350.0552.

2-oxo-2-phenylethyl 2-(5-bromo-1*H*-indol-3-yl)acetate (6)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 81% yield (30.1 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.26 (s, 1H), 7.89 (d, *J* = 7.4 Hz, 2H), 7.76 (s, 1H), 7.60 (t, *J* = 7.0 Hz, 1H), 7.47 (t, *J* = 7.3 Hz, 2H), 7.20 (d, *J* = 11.9 Hz, 3H), 5.38 (s, 2H), 3.91 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.2, 171.2, 134.7, 134.1, 133.9, 129.0, 128.9, 127.8, 125.1, 124.6, 121.5, 113.0, 112.7, 107.6, 66.4, 30.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{14}BrNNaO_3^+$ ([M+Na]$^+$) 394.0049. found, 394.0056.

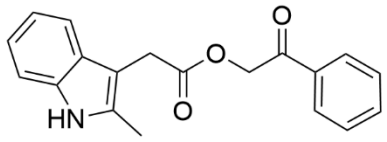
2-oxo-2-phenylethyl 2-(5-methoxy-1*H*-indol-3-yl)acetate (7)



The title compound was prepared according to the general procedure and purified by column chromatography on

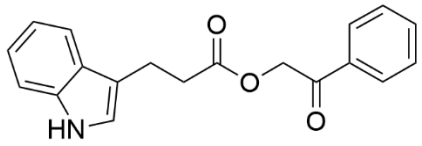
silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 83% yield (26.2 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.23 (br s, 1H), 7.86 (d, *J* = 7.2 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.44 (t, *J* = 7.8 Hz, 2H), 7.18 (d, *J* = 8.8 Hz, 1H), 7.14 (d, *J* = 2.4 Hz, 1H), 7.09 (d, *J* = 2.4 Hz, 1H), 6.85 (dd, *J* = 8.8, 2.4 Hz, 1H), 5.34 (s, 2H), 3.92 (s, 1H), 3.88 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.3, 171.6, 154.0, 134.0, 133.8, 131.2, 128.8, 127.7, 127.5, 124.2, 112.4, 112.0, 107.4, 100.4, 66.3, 55.8, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{17}NNaO_4^+$ ([M+Na]$^+$) 346.1050. found, 346.1050

2-oxo-2-phenylethyl 2-(2-methyl-1*H*-indol-3-yl)acetate (8)



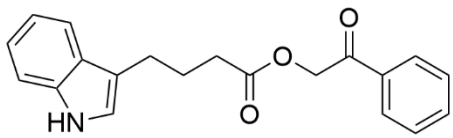
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 61% yield (18.8 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.93 (br s, 1H), 7.85 (d, *J* = 7.2 Hz, 2H), 7.57 (t, *J* = 7.4 Hz, 2H), 7.48–7.40 (m, 2H), 7.29–7.21 (m, 1H), 7.15–7.07 (m, 2H), 5.29 (s, 2H), 3.87 (s, 2H), 2.39 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.4, 171.4, 135.1, 134.2, 133.8, 132.9, 128.8, 128.5, 127.8, 121.3, 119.6, 118.1, 110.2, 104.1, 66.3, 29.9, 11.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{17}NNaO_3^+$ ([M+Na]$^+$) 330.1101. found, 330.1103.

2-oxo-2-phenylethyl 3-(1*H*-indol-3-yl)propanoate (9)



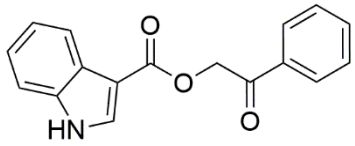
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 73% yield (22.4 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.07 (br s, 1H), 7.91 (d, *J* = 7.2 Hz, 2H), 7.67–7.57 (m, 2H), 7.48 (t, *J* = 7.8 Hz, 2H), 7.35 (d, *J* = 8.1 Hz, 1H), 7.20 (t, *J* = 7.1 Hz, 1H), 7.13 (t, *J* = 7.0 Hz, 1H), 7.05 (s, 1H), 5.35 (s, 2H), 3.19 (t, *J* = 7.7 Hz, 2H), 2.97–2.87 (m, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.4, 172.8, 136.2, 134.2, 133.9, 128.8, 127.7, 127.1, 122.0, 121.5, 119.3, 118.6, 114.7, 111.1, 65.9, 34.5, 20.5. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{17}NNaO_3^+$ ([M+Na]$^+$) 330.1101. found, 330.1102.

2-oxo-2-phenylethyl 4-(1*H*-indol-3-yl)butanoate (10)



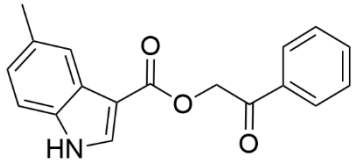
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 75% yield (24.1 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.05 (br s, 1H), 7.91 (d, *J* = 7.2 Hz, 2H), 7.64 (d, *J* = 8.6 Hz, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.49 (t, *J* = 7.8 Hz, 2H), 7.35 (d, *J* = 8.1 Hz, 1H), 7.19 (t, *J* = 7.0 Hz, 1H), 7.12 (t, *J* = 7.0 Hz, 1H), 7.02 (s, 1H), 5.33 (s, 2H), 2.88 (t, *J* = 7.7 Hz, 2H), 2.57 (t, *J* = 7.4 Hz, 2H), 2.13 (p, *J* = 7.4 Hz, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.3, 173.2, 136.3, 134.2, 133.8, 128.8, 127.7, 127.4, 121.8, 121.7, 119.1, 118.9, 115.4, 111.1, 65.8, 33.4, 25.2, 24.3. HRMS (ESI-TOF) *m/z* calcd. for $C_{20}H_{19}NNaO_3^+$ ($[M+H]^+$) 344.1257. found, 344.1265.

2-oxo-2-phenylethyl 1*H*-indole-3-carboxylate (11)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 70% yield (19.5 mg). ^{1}H NMR (600 MHz, DMSO-d_6): δ 12.04 (br s, 1H), 8.19 (d, *J* = 3.0 Hz, 1H), 8.04 (d, *J* = 8.4 Hz, 3H), 7.71 (t, *J* = 7.4 Hz, 1H), 7.59 (t, *J* = 7.8 Hz, 2H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.26–7.18 (m, 2H), 5.68 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6): δ 194.1, 164.1, 136.9, 134.7, 134.3, 133.5, 129.4, 128.3, 126.2, 123.0, 121.9, 121.0, 112.9, 106.3, 66.3. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{13}NNaO_3^+$ ($[M+Na]^+$) 302.0788. found, 302.0786.

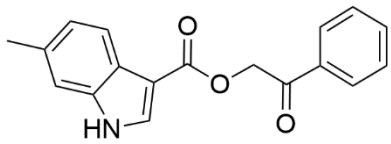
2-oxo-2-phenylethyl 5-methyl-1*H*-indole-3-carboxylate (12)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 62% yield (18.2 mg). ^{1}H NMR

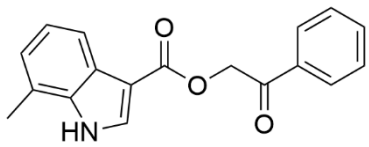
(600 MHz, $CDCl_3$): δ 8.63 (br s, 1H), 8.01 (d, *J* = 7.2 Hz, 3H), 7.97 (d, *J* = 3.0 Hz, 1H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.51 (t, *J* = 7.7 Hz, 2H), 7.30 (d, *J* = 8.3 Hz, 1H), 7.09 (d, *J* = 8.4 Hz, 1H), 5.58 (s, 2H), 2.47 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 193.1, 164.3, 134.6, 134.4, 133.8, 131.8, 131.7, 128.8, 127.9, 126.2, 124.9, 121.3, 111.1, 107.4, 65.6, 21.6. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{15}NNaO_3^+$ ($[M+Na]^+$) 316.0944. found, 316.0947.

2-oxo-2-phenylethyl 6-methyl-1*H*-indole-3-carboxylate (13)



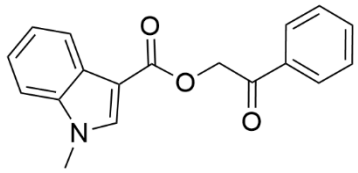
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 64% yield (18.8 mg). ^1H NMR (600 MHz, $CDCl_3$): δ 8.56 (br s, 1H), 8.09 (d, *J* = 8.1 Hz, 1H), 8.00 (d, *J* = 9.6 Hz, 2H), 7.95 (d, *J* = 3.0 Hz, 1H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.55–7.49 (m, 2H), 7.21 (s, 1H), 7.11 (d, *J* = 9.0 Hz, 1H), 5.57 (s, 2H), 2.47 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 193.1, 164.2, 136.5, 134.5, 133.8, 133.3, 131.1, 128.8, 127.9, 124.0, 123.7, 121.3, 111.4, 107.8, 65.6, 21.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{15}NNaO_3^+$ ($[M+Na]^+$) 316.0944. found, 316.0947

2-oxo-2-phenylethyl 7-methyl-1*H*-indole-3-carboxylate (14)



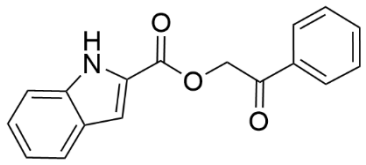
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 54% yield (15.8 mg). ^1H NMR (600 MHz, DMSO-d_6): δ 12.07 (br s, 1H), 8.17 (d, *J* = 3.1 Hz, 1H), 8.04 (d, *J* = 7.1 Hz, 2H), 7.87 (d, *J* = 7.9 Hz, 1H), 7.71 (t, *J* = 7.4 Hz, 1H), 7.59 (t, *J* = 7.8 Hz, 2H), 7.11 (t, *J* = 7.5 Hz, 1H), 7.03 (d, *J* = 7.1 Hz, 1H), 5.68 (s, 2H), 2.52 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6): δ 194.1, 164.2, 136.4, 134.7, 134.3, 133.0, 129.4, 128.3, 126.0, 123.5, 122.2, 122.1, 118.6, 106.7, 66.3, 17.2. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{15}NNaO_3^+$ ($[M+Na]^+$) 316.0944. found, 316.0947.

2-oxo-2-phenylethyl 1-methyl-1*H*-indole-3-carboxylate (15)



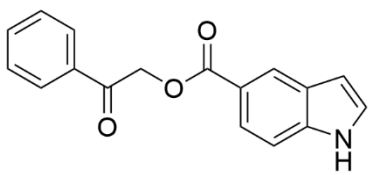
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 78% yield (22.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.25–8.22 (m, 1H), 7.99 (d, *J* = 7.1 Hz, 2H), 7.90 (s, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.50 (t, *J* = 7.8 Hz, 2H), 7.38–7.34 (m, 1H), 7.32–7.28 (m, 2H), 5.57 (s, 2H), 3.83 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 193.1, 164.0, 137.2, 135.8, 134.5, 133.7, 128.8, 127.8, 126.7, 122.9, 122.1, 121.7, 109.8, 105.9, 65.5, 33.5. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{15}NNaO_3^+$ ([M+Na]$^+$) 316.0944. found, 314.0947.

2-oxo-2-phenylethyl 1*H*-indole-2-carboxylate (16)



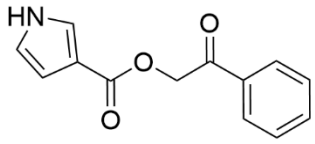
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (5/1) to afford a white solid in 68% yield (19.0 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 9.01 (br s, 1H), 7.98 (d, *J* = 7.1 Hz, 2H), 7.71 (d, *J* = 7.2 Hz, 1H), 7.64 (t, *J* = 7.5 Hz, 1H), 7.56–7.47 (m, 2H), 7.43 (d, *J* = 7.5 Hz, 1H), 7.39 (dd, *J* = 2.1, 0.9 Hz, 1H), 7.34 (t, *J* = 8.2 Hz, 1H), 7.17 (t, *J* = 8.0 Hz, 1H), 5.60 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.9, 161.1, 137.1, 134.2, 134.0, 129.0, 127.9, 127.5, 126.3, 125.7, 122.8, 120.9, 111.9, 110.0, 66.3. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{13}NNaO_3^+$ ([M+Na]$^+$) 302.0788. found, 302.0787.

2-oxo-2-phenylethyl 1*H*-indole-5-carboxylate (17)



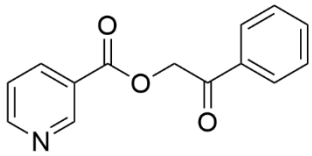
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 58% yield (16.2 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.52 (s, 1H), 8.44 (br s, 1H), 7.99 (t, *J* = 8.6 Hz, 3H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.51 (t, *J* = 7.8 Hz, 2H), 7.41 (d, *J* = 8.6 Hz, 1H), 7.33–7.26 (m, 1H), 6.65 (s, 1H), 5.59 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.8, 167.1, 138.6, 134.5, 133.8, 128.9, 127.9, 127.5, 125.5, 124.3, 123.7, 121.0, 110.8, 104.2, 66.3. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{13}NNaO_3^+$ ([M+Na]$^+$) 302.0788. found, 302.0789.

2-oxo-2-phenylethyl 1*H*-pyrrole-3-carboxylate (18)



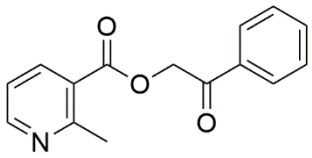
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 45% yield (10.3 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.99 (br s, 1H), 7.96 (d, *J* = 7.1 Hz, 2H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.49 (t, *J* = 7.8 Hz, 2H), 7.46 (dt, *J* = 3.2, 1.8 Hz, 1H), 6.74 (q, *J* = 2.4 Hz, 1H), 6.70 (td, *J* = 2.7, 1.5 Hz, 1H), 5.48 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 193.3, 164.2, 134.4, 133.8, 128.8, 127.9, 124.4, 119.0, 115.1, 110.0, 65.6. HRMS (ESI-TOF) *m/z* calcd. for $C_{13}H_{11}NNaO_3^+$ ([M+Na]$^+$) 252.0631. found, 252.0631.

2-oxo-2-phenylethyl nicotinate (19)



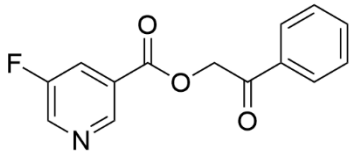
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 50% yield (12.1 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 9.34 (br s, 1H), 8.83 (br s, 1H), 8.40 (d, *J* = 7.9 Hz, 1H), 7.97 (d, *J* = 7.1 Hz, 2H), 7.64 (t, *J* = 7.5 Hz, 1H), 7.53 (d, *J* = 8.1 Hz, 2H), 7.43 (dd, *J* = 7.8, 4.8 Hz, 1H), 5.62 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.5, 164.8, 153.8, 151.2, 137.4, 134.1, 134.0, 129.0, 127.8, 125.5, 123.3, 66.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{14}H_{11}NNaO_3^+$ ([M+Na]$^+$) 264.0631. found, 264.0635.

2-oxo-2-phenylethyl 2-methylnicotinate (20)



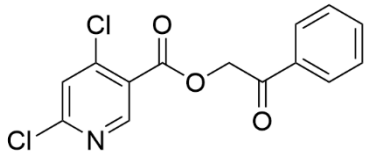
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 61% yield (15.6 mg). ^{1}H NMR (500 MHz, $CDCl_3$): δ 8.64 (s, 1H), 8.35 (d, *J* = 7.9 Hz, 1H), 7.96 (d, *J* = 7.7 Hz, 2H), 7.63 (t, *J* = 7.4 Hz, 1H), 7.52 (t, *J* = 7.6 Hz, 2H), 7.25 (d, *J* = 5.9 Hz, 1H), 5.59 (s, 2H), 2.88 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 191.8, 166.0, 160.3, 152.1, 138.8, 134.2, 134.1, 129.0, 127.8, 124.8, 120.9, 66.5, 24.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{15}H_{13}NNaO_3^+$ ($[M+Na]^+$) 278.0788. found, 278.0792.

2-oxo-2-phenylethyl 5-fluoronicotinate (21)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 83% yield (21.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 9.13 (s, 1H), 8.67 (d, *J* = 2.8 Hz, 1H), 8.08-8.06 (m, 1H), 7.94 (d, *J* = 7.1 Hz, 2H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.50 (t, *J* = 7.8 Hz, 2H), 5.62 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.1, 163.7 (d, *J* = 2.1 Hz), 159.0 (d, *J* = 258.6 Hz), 146.9, 142.5 (d, *J* = 23.3 Hz), 134.1, 133.8, 128.9, 127.7, 126.7 (d, *J* = 3.6 Hz), 123.9 (d, *J* = 19.6 Hz), 66.9. ^{19}F NMR (564 MHz, $CDCl_3$): δ -125.7 (s, 1F). HRMS (ESI-TOF) *m/z* calcd. for $C_{14}H_{11}FNO_3^+$ ($[M+H]^+$) 260.0717. found, 260.0717.

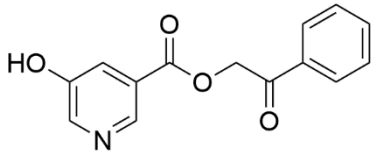
2-oxo-2-phenylethyl 4,6-dichloronicotinate (22)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow oil in 87% yield (26.9 mg). ^{1}H NMR

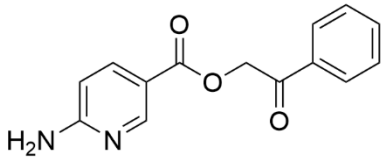
(600 MHz, $CDCl_3$): δ 9.02 (s, 1H), 7.94 (d, *J* = 7.2 Hz, 2H), 7.63 (t, *J* = 7.5 Hz, 1H), 7.54–7.47 (m, 3H), 5.62 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 190.9, 162.4, 155.1, 152.7, 146.3, 134.2, 133.8, 129.0, 127.8, 126.0, 123.9, 67.0. HRMS (ESI-TOF) *m/z* calcd. for $C_{14}H_9Cl_2NNaO_3^+$ ($[M+Na]^+$) 331.9852. found, 331.9857.

2-oxo-2-phenylethyl 5-hydroxynicotinate (23)



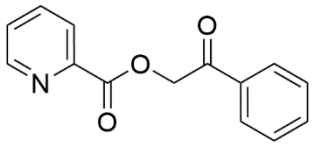
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (1/1) to afford a yellow oil in 41% yield (10.5 mg). ^1H NMR (600 MHz, DMSO-d_6): δ 10.51 (s, 1H), 8.65 (d, *J* = 1.8 Hz, 1H), 8.41 (d, *J* = 2.8 Hz, 1H), 8.01 (d, *J* = 7.1 Hz, 2H), 7.72 (t, *J* = 7.4 Hz, 1H), 7.69 (dd, *J* = 2.8, 1.8 Hz, 1H), 7.59 (t, *J* = 7.8 Hz, 2H), 5.79 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.5, 164.4, 153.7, 142.8, 140.8, 134.1, 133.8, 129.0, 127.9, 125.7, 122.0, 67.5. HRMS (ESI-TOF) *m/z* calcd. for $C_{14}H_{12}NO_4^+$ ($[M+H]^+$) 258.0761. found, 258.0760.

2-oxo-2-phenylethyl 5-aminopicolinate (24)



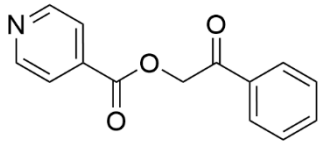
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (1/2) to afford a white solid in 30% yield (7.7 mg). ^1H NMR (600 MHz, $CDCl_3$): δ 8.84 (s, 1H), 8.10 (d, *J* = 8.6 Hz, 1H), 7.96 (d, *J* = 8.4 Hz, 2H), 7.62 (t, *J* = 7.4 Hz, 1H), 7.50 (t, *J* = 7.8 Hz, 1H), 6.50 (d, *J* = 8.7 Hz, 1H), 5.54 (s, 2H), 4.91 (br s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.3, 165.1, 161.2, 152.0, 139.3, 134.3, 133.9, 128.9, 127.8, 115.7, 107.4, 66.1. HRMS (ESI-TOF) *m/z* calcd. for $C_{14}H_{13}N_2O_3^+$ ($[M+H]^+$) 257.0921. found, 257.0923.

2-oxo-2-phenylethyl picolinate (25)



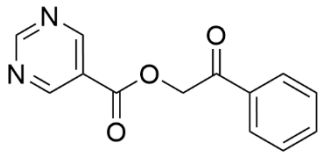
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a brown oil in 63% yield (15.2 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.79 (d, *J* = 3.1 Hz, 1H), 8.21 (d, *J* = 7.8 Hz, 1H), 7.96 (d, *J* = 7.1 Hz, 2H), 7.90–7.85 (m, 1H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.54–7.46 (m, 3H), 5.67 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.3, 164.6, 149.9, 147.3, 137.1, 134.1, 134.0, 128.9, 127.8, 127.3, 125.6, 67.1. HRMS (ESI-TOF) *m/z* calcd. for $C_{14}H_{11}NNaO_3^+$ ($[M+Na]^+$) 264.0631. found, 264.0637.

2-oxo-2-phenylethyl isonicotinate (26)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 89% yield (21.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.80 (d, *J* = 4.4 Hz, 2H), 7.94 (t, *J* = 7.1 Hz, 4H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.50 (t, *J* = 7.9 Hz, 2H), 5.61 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.2, 164.6, 150.6, 136.6, 134.1, 133.9, 128.9, 127.8, 123.1, 66.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{14}H_{12}NO_3^+$ ($[M+H]^+$) 242.0812. found, 242.0817.

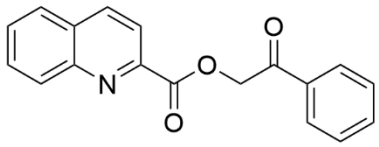
2-oxo-2-phenylethyl pyrimidine-5-carboxylate (27)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with dichloromethane/ethyl acetate (3/1) to afford a yellow solid in 63% yield (15.3 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 9.40 (s, 1H), 9.38 (s, 2H), 7.95 (d, *J* = 7.2 Hz, 2H), 7.64 (t, *J* = 7.5 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 2H), 5.65 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 190.9, 163.1, 161.7, 158.2, 134.3, 133.8, 129.0, 127.8,

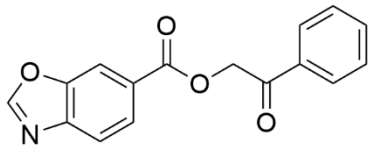
123.7, 66.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{13}H_{11}N_2O_3^+$ ([M+H]$^+$) 243.0764. found, 243.0774.

2-oxo-2-phenylethyl quinoline-2-carboxylate (28)



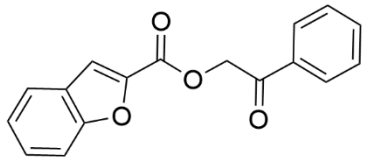
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 65% yield (18.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.34–8.26 (m, 2H), 8.23 (d, *J* = 8.4 Hz, 1H), 7.96 (d, *J* = 7.1 Hz, 2H), 7.85 (d, *J* = 8.3 Hz, 1H), 7.76 (t, *J* = 8.4 Hz, 1H), 7.62 (t, *J* = 8.1 Hz, 1H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 5.73 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.2, 164.7, 147.5, 147.2, 137.2, 134.0, 133.9, 130.7, 130.2, 129.4, 128.8, 128.7, 127.7, 127.5, 121.2, 67.3. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{14}NO_3^+$ ([M+H]$^+$) 292.0968. found, 292.0968.

2-oxo-2-phenylethyl benzo[d]oxazole-6-carboxylate (29)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 81% yield (22.8 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.37 (s, 1H), 8.23 (s, 1H), 8.19 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.95 (d, *J* = 7.2 Hz, 2H), 7.84 (d, *J* = 8.4 Hz, 1H), 7.60 (t, *J* = 7.5 Hz, 1H), 7.49 (t, *J* = 7.8 Hz, 2H), 5.61 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.8, 165.4, 155.0, 149.6, 144.1, 134.1, 133.9, 128.9, 127.7, 127.0, 126.6, 120.4, 113.2, 66.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{16}H_{11}N_2NaO_4^+$ ([M+Na]$^+$) 304.0580. found, 304.0583.

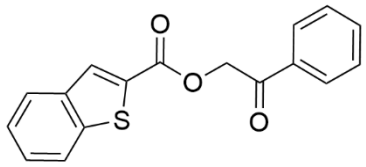
2-oxo-2-phenylethyl benzofuran-2-carboxylate (30)



The title compound was prepared according to the general procedure and purified by column chromatography on

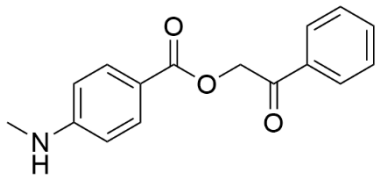
silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow solid in 97% yield (27.2 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.94 (d, *J* = 7.1 Hz, 2H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.65 (s, 1H), 7.59 (t, *J* = 8.0 Hz, 2H), 7.50–7.43 (m, 3H), 7.30 (t, *J* = 7.1 Hz, 1H), 5.62 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.3, 158.7, 155.8, 144.6, 133.9, 133.9, 128.8, 127.8, 127.7, 126.8, 123.8, 122.9, 115.0, 112.3, 66.5. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{12}NaO_4^+$ ([M+Na]$^+$) 303.0628. found, 303.0626.

2-oxo-2-phenylethyl benzo[*b*]thiophene-2-carboxylate (31)



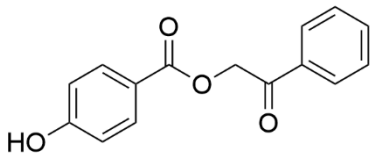
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow solid in 94% yield (27.8 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.18 (s, 1H), 7.96 (d, *J* = 7.1 Hz, 2H), 7.88 (t, *J* = 8.6 Hz, 2H), 7.61 (t, *J* = 7.5 Hz, 1H), 7.53–7.44 (m, 3H), 7.41 (t, *J* = 7.0 Hz, 1H), 5.58 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.6, 162.1, 142.4, 138.6, 134.1, 133.9, 132.4, 131.5, 128.9, 127.8, 127.1, 125.6, 124.9, 122.7, 66.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{12}NaO_3S^+$ ([M+Na]$^+$) 319.0399. found, 319.0405.

2-oxo-2-phenylethyl 4-(methylamino)benzoatee (32)



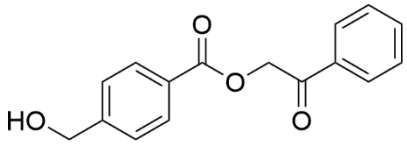
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 75% yield (20.2 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.99–7.93 (m, 4H), 7.60 (t, *J* = 7.4 Hz, 1H), 7.49 (t, *J* = 7.8 Hz, 2H), 6.56 (d, *J* = 8.8 Hz, 2H), 5.50 (s, 2H), 4.28 (br s, 1H), 2.88 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 193.0, 166.2, 153.2, 134.5, 133.7, 1320., 128.8, 127.8, 117.1, 111.1, 66.0, 30.1. HRMS (ESI-TOF) *m/z* calcd. for $C_{16}H_{15}NNaO_3^+$ ([M+Na]$^+$) 292.0944. found, 292.0946.

2-oxo-2-phenylethyl 4-hydroxybenzoate (33)



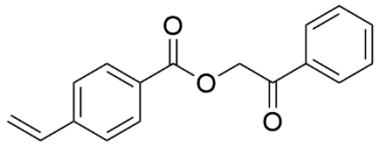
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 81% yield (20.7 mg). ^{1}H NMR (600 MHz, DMSO-d_6): δ 10.44 (br s, 1H), 8.01 (d, J = 9.6 Hz, 2H), 7.90 (d, J = 8.8 Hz, 2H), 7.71 (t, J = 7.4 Hz, 1H), 7.58 (t, J = 7.8 Hz, 2H), 6.90 (d, J = 8.8 Hz, 2H), 5.68 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6): δ 193.5, 165.5, 162.8, 134.5, 132.2, 129.4, 128.2, 120.2, 115.9, 67.1, 55.3. HRMS (ESI-TOF) *m/z* calcd. for $C_{15}H_{12}NaO_4^+$ ([M+Na]$^+$) 279.0628. found, 279.0629.

2-oxo-2-phenylethyl 4-(hydroxymethyl)benzoate (34)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 96% yield (25.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.08 (d, J = 8.2 Hz, 2H), 7.95 (d, J = 7.2 Hz, 2H), 7.61 (t, J = 7.4 Hz, 1H), 7.49 (t, J = 7.8 Hz, 2H), 7.42 (d, J = 8.1 Hz, 2H), 5.56 (s, 2H), 4.74 (s, 2H), 2.41 (br s, 1H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.3, 165.9, 146.6, 134.2, 133.9, 130.1, 128.9, 128.3, 127.8, 126.4, 66.4, 64.5. HRMS (ESI-TOF) *m/z* calcd. for $C_{16}H_{14}NaO_4^+$ ([M+Na]$^+$) 293.0784. found, 293.0783.

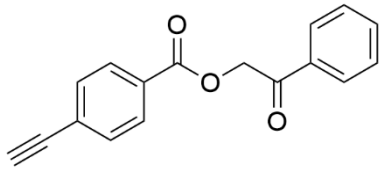
2-oxo-2-phenylethyl 4-vinylbenzoate (35)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow solid in 88% yield (23.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.09 (d, J = 8.3 Hz, 2H), 7.96 (d, J = 7.2 Hz, 2H), 7.61 (t, J = 7.5 Hz, 1H), 7.49 (t, J =

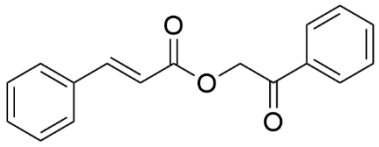
7.8 Hz, 4H), 6.76 (dd, *J* = 17.6, 10.9 Hz, 1H), 5.88 (d, *J* = 18.2 Hz, 1H), 5.56 (s, 2H), 5.39 (d, *J* = 11.4 Hz, 1H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.1, 165.7, 142.3, 135.9, 134.2, 133.8, 130.2, 128.8, 128.4, 127.8, 126.1, 116.7, 66.4. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{14}NaO_3^+$ ([M+Na]$^+$) 289.0835. found, 289.0840.

2-oxo-2-phenylethyl 4-ethynylbenzoate (36)



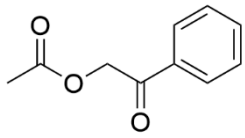
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow oil in 30% yield (8.0 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.10 (d, *J* = 8.5 Hz, 2H), 7.96 (d, *J* = 7.1 Hz, 2H), 7.63 (t, *J* = 7.5 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 2H), 7.51 (t, *J* = 7.8 Hz, 2H), 5.58 (s, 2H), 3.25 (s, 1H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.9, 165.4, 134.2, 134.0, 132.1, 129.8, 129.4, 128.9, 127.8, 127.2, 82.8, 80.3, 66.6. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{12}NaO_3^+$ ([M+Na]$^+$) 287.0679. found, 287.0682.

2-oxo-2-phenylethyl cinnamate (37)



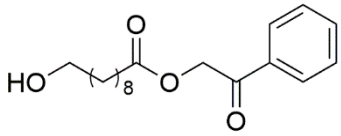
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow solid in 96% yield (25.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.95 (d, *J* = 7.1 Hz, 2H), 7.81 (d, *J* = 16.0 Hz, 1H), 7.61 (t, *J* = 7.4 Hz, 1H), 7.57–7.54 (m, 2H), 7.50 (t, *J* = 7.8 Hz, 2H), 7.43–7.37 (m, 3H), 6.60 (d, *J* = 16.0 Hz, 1H), 5.48 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.2, 166.2, 146.1, 134.2, 134.2, 133.9, 130.5, 128.9, 128.8, 128.2, 127.8, 116.9, 66.1. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{14}NaO_3^+$ ([M+Na]$^+$) 289.0835. found, 289.0837.

2-oxo-2-phenylethyl acetate (38)[6]



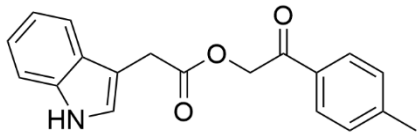
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow oil in 77% yield (13.7 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.90 (d, *J* = 7.2 Hz, 2H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 5.33 (s, 2H), 2.22 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.1, 170.4, 134.1, 133.9, 128.8, 127.7, 66.0, 20.5. HRMS (ESI-TOF) *m/z* calcd. for $C_{10}H_{10}NaO_3^+$ ([M+Na]$^+$) 201.0522, found, 201.0519.

2-oxo-2-phenylethyl 10-hydroxydecanoate (39)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 83% yield (25.4 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.89 (d, *J* = 7.1 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.8 Hz, 2H), 5.31 (s, 2H), 3.59 (t, *J* = 6.7 Hz, 2H), 2.46 (t, *J* = 7.6 Hz, 2H), 1.84 (br s, 1H), 1.65–1.70 (m, 2H), 1.51–1.55 (m, 2H), 1.39–1.24 (m, 10H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.3, 173.2, 134.1, 133.8, 128.8, 127.7, 65.8, 62.8, 33.8, 32.6, 29.3, 29.2, 29.0, 28.9, 25.6, 24.8. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{26}NaO_4^+$ ([M+Na]$^+$) 329.1723, found, 329.1724.

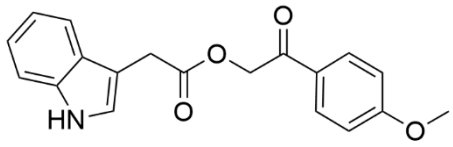
2-oxo-2-(*p*-tolyl)ethyl 2-(1*H*-indol-3-yl)acetate (40)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 83% yield (25.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.23 (br s, 1H), 7.79 (d, *J* = 8.2 Hz, 2H), 7.66 (d, *J* = 7.9 Hz, 1H), 7.33 (d, *J* = 7.2 Hz, 1H), 7.25 (d, *J* = 8.1 Hz, 2H), 7.21–7.12 (m, 3H), 5.33 (s, 2H), 3.96 (s, 2H), 2.41 (s, 3H). ^{13}C NMR (150 MHz,

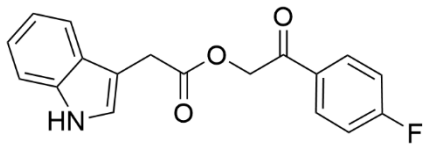
$CDCl_3$): δ 191.9, 171.5, 144.8, 136.1, 131.6, 129.5, 127.8, 127.2, 123.4, 122.1, 119.6, 118.8, 111.2, 107.8, 66.2, 30.9, 21.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{17}NNaO_3^+$ ([M+Na]$^+$) 330.1101, found, 330.1112.

2-(4-methoxyphenyl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (41)



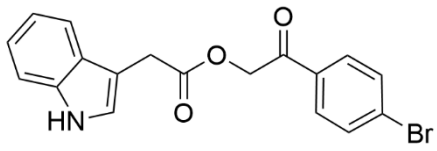
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 92% yield (29.7 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.18 (br s, 1H), 7.87 (d, *J* = 9.0 Hz, 2H), 7.66 (d, *J* = 8.8 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 1H), 7.23 (s, 1H), 7.20 (t, *J* = 7.0 Hz, 1H), 7.14 (t, *J* = 8.0 Hz, 1H), 6.91 (d, *J* = 9.0 Hz, 2H), 5.31 (s, 2H), 3.97 (s, 2H), 3.86 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 190.8, 171.5, 164.0, 136.1, 130.1, 127.2, 127.2, 123.3, 122.2, 119.7, 118.9, 114.0, 111.2, 108.0, 66.1, 55.5, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{17}NNaO_4^+$ ([M+Na]$^+$) 346.1050, found, 346.1056.

2-(4-fluorophenyl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (42)



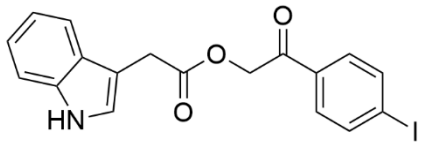
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 88% yield (27.4 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.26 (br s, 1H), 7.86 (dd, *J* = 8.7, 5.4 Hz, 2H), 7.65 (d, *J* = 7.8 Hz, 1H), 7.29 (d, *J* = 8.0 Hz, 1H), 7.19 (t, *J* = 7.4 Hz, 1H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.09 (t, *J* = 8.4 Hz 3H), 5.27 (s, 2H), 3.94 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 190.9, 171.5, 166.8, 165.1, 136.0, 130.4 (d, *J* = 9.4 Hz), 127.1 123.4, 122.1, 119.6, 118.7, 115.9 (d, *J* = 22.0 Hz), 111.3, 107.5, 66.1, 30.8. ^{19}F NMR (564 MHz, $CDCl_3$): δ -103.3 (s, 1F). HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{14}FNNaO_3^+$ ([M+Na]$^+$) 334.0850, found, 334.0859.

2-(4-bromophenyl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (43)



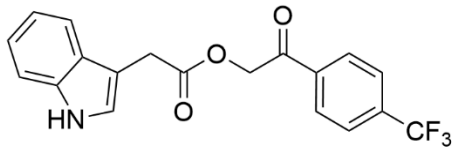
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 87% yield (32.3 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.17 (s, 1H), 7.70 (d, *J* = 8.6 Hz, 2H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.55 (d, *J* = 8.6 Hz, 2H), 7.35–7.33(m, 1H), 7.23–7.12 (m, 3H), 5.26 (s, 2H), 3.95 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.6, 171.4, 136.0, 132.8, 132.1, 129.2, 129.0, 127.1, 123.3, 122.2, 119.7, 118.8, 111.2, 107.7, 66.2, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{14}BrNNaO_3^+$ ([M+Na]$^+$) 394.0049, found, 394.0052.

2-(4-iodophenyl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (44)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 60% yield (25.1 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.12 (br s, 1H), 7.78 (d, *J* = 8.5 Hz, 2H), 7.64 (d, *J* = 8.0 Hz, 1H), 7.55 (d, *J* = 8.5 Hz, 2H), 7.36 (d, *J* = 8.1 Hz, 1H), 7.23–7.19 (m, 2H), 7.14 (t, *J* = 7.0 Hz, 1H), 5.27 (s, 2H), 3.95 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.9, 171.3, 138.1, 136.0, 133.4, 129.1, 127.2, 123.2, 122.3, 119.8, 118.8, 111.2, 107.9, 101.9, 66.1, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{14}INNaO_3^+$ ([M+Na]$^+$) 441.9911, found, 441.9916.

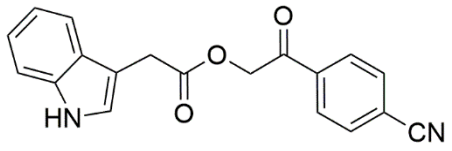
2-oxo-2-(4-(trifluoromethyl)phenyl)ethyl 2-(1*H*-indol-3-yl)acetate (45)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 90% yield (32.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.21 (br s, 1H), 7.89 (d, *J* = 8.1 Hz, 2H), 7.65 (dd, *J* = 8.0, 2.9 Hz, 3H), 7.29 (d, *J* = 8.0 Hz,

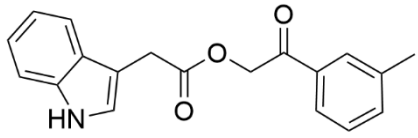
1H), 7.19 (t, *J* = 7.0 Hz, 1H), 7.15 (t, *J* = 6.9 Hz, 1H), 7.09 (s, 1H), 5.28 (s, 2H), 3.94 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.8, 171.5, 136.6, 136.0, 134.9 (q, *J* = 32.9 Hz), 128.1 127.1, 125.7 (q, *J* = 3.6 Hz), 123.4, 123.3 (d, *J* = 272.9 Hz), 122.1, 119.6, 118.7, 111.3, 107.5, 66.3, 30.8. ^{19}F NMR (564 MHz, $CDCl_3$): δ -63.2 (s, 3F). HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{14}F_3NNaO_3^+$ ([M+Na]$^+$) 384.0818, found, 384.0815.

2-(4-cyanophenyl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (46)



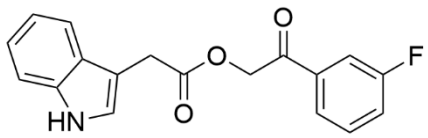
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 67% yield (21.3 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.20 (br s, 1H), 7.85 (d, *J* = 8.5 Hz, 2H), 7.63–7.60 (m, 3H), 7.34 (d, *J* = 8.1 Hz, 1H), 7.20 (t, *J* = 8.1 Hz, 1H), 7.16–7.09 (m, 2H), 5.25 (s, 2H), 3.93 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.8, 171.3, 137.0, 136.0, 132.4, 128.2, 127.1, 123.3, 122.3, 119.7, 118.7, 117.7, 116.8, 111.3, 107.5, 66.4, 30.8. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{14}N_2NaO_3^+$ ([M+Na]$^+$) 341.0897, found, 341.0895.

2-oxo-2-(m-tolyl)ethyl 2-(1*H*-indol-3-yl)acetate (47)



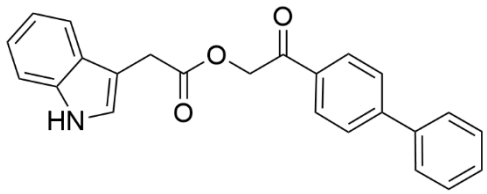
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 77% yield (23.6 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.22 (br s, 1H), 7.71 (s, 1H), 7.68–7.65 (m, 2H), 7.40 (d, *J* = 7.6 Hz, 1H), 7.34 (t, *J* = 7.5 Hz, 2H), 7.22–7.17 (m, 2H), 7.14 (t, *J* = 7.9 Hz, 1H), 5.35 (s, 2H), 3.97 (s, 2H), 2.39 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.5, 171.5, 138.7, 136.1, 134.6, 134.2, 128.7, 128.2, 127.2, 124.9, 123.4, 122.1, 119.6, 118.8, 111.2, 107.8, 66.3, 30.9, 21.3. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{17}NNaO_3^+$ ([M+Na]$^+$) 330.1101, found, 330.1099.

2-(2-fluorophenyl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (48)



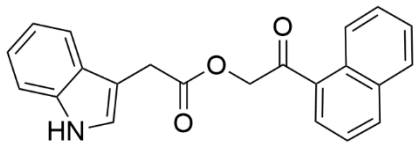
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 83% yield (25.8 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.26 (br s, 1H), 7.65 (d, *J* = 8.2 Hz, 1H), 7.60–7.59 (m, 1H), 7.58–7.56 (m, 1H), 7.41–7.38 (m, 1H), 7.30–7.25 (m, 2H), 7.19 (t, *J* = 8.1 Hz, 1H), 7.15 (t, *J* = 8.0 Hz, 1H), 7.08 (s, 1H), 5.27 (s, 2H), 3.95 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 190.6 (d, *J* = 4.9 Hz), 171.6, 162.19 (d, *J* = 254.3 Hz), 136.0, 135.6 (d, *J* = 9.1 Hz), 130.6 (d, *J* = 2.9 Hz), 127.1, 124.7 (d, *J* = 3.1 Hz), 123.5, 122.2 (d, *J* = 14.5 Hz), 121.9, 119.5, 118.7, 116.4 (d, *J* = 23.5 Hz), 111.2, 107.5, 69.3, 30.7. ^{19}F NMR (564 MHz, $CDCl_3$): δ -107.7 (s, 1F). HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{14}FNNaO_3^+$ ($[M+Na]^+$) 334.0850, found, 334.0846.

2-([1,1'-biphenyl]-4-yl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (49)



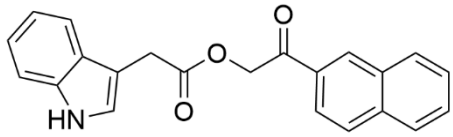
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 66% yield (24.4 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.10 (br s, 1H), 7.96 (d, *J* = 8.6 Hz, 2H), 7.67 (d, *J* = 8.6 Hz, 3H), 7.62 (d, *J* = 7.1 Hz, 2H), 7.48 (t, *J* = 7.6 Hz, 2H), 7.41 (t, *J* = 7.4 Hz, 1H), 7.37 (d, *J* = 8.1 Hz, 1H), 7.28 (d, *J* = 2.4 Hz, 1H), 7.21 (t, *J* = 7.0 Hz, 1H), 7.15 (t, *J* = 8.0 Hz, 1H), 5.39 (s, 2H), 3.99 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.9, 171.4, 146.5, 139.6, 136.1, 132.9, 129.0, 128.4, 128.4, 127.4, 127.3, 123.3, 122.2, 119.8, 118.9, 112.8, 111.2, 108.0, 66.3, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{24}H_{19}NNaO_3^+$ ($[M+Na]^+$) 392.1257, found, 392.1261.

2-(naphthalen-1-yl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (50)



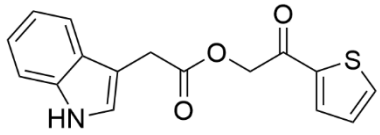
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 51% yield (17.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.63 (d, *J* = 8.8 Hz, 1H), 8.21 (br s, 1H), 7.99 (d, *J* = 8.2 Hz, 1H), 7.87 (d, *J* = 7.4 Hz, 1H), 7.77 (d, *J* = 6.1 Hz, 1H), 7.64 (d, *J* = 7.9 Hz, 1H), 7.60 (t, *J* = 7.0 Hz, 1H), 7.55 (t, *J* = 6.9 Hz, 1H), 7.42 (dd, *J* = 8.2, 7.2 Hz, 1H), 7.31 (d, *J* = 8.1 Hz, 1H), 7.20 (t, *J* = 7.0 Hz, 1H), 7.14 (t, *J* = 6.9 Hz, 1H), 7.12 (s, 1H), 5.31 (s, 2H), 3.97 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 196.5, 171.8, 136.2, 133.9, 133.5, 132.4, 130.2, 128.5, 128.4, 127.6, 127.2, 126.8, 125.6, 124.3, 123.5, 122.2, 119.7, 118.8, 111.3, 107.8, 67.9, 31.0. HRMS (ESI-TOF) *m/z* calcd. for $C_{22}H_{17}NNaO_3^+$ ($[M+Na]^+$) 366.1101, found, 366.1102.

2-(naphthalen-2-yl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (51)



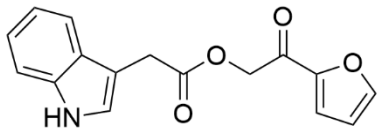
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 57% yield (19.6 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.39 (s, 1H), 8.14 (br s, 1H), 7.95 (d, *J* = 6.9 Hz, 1H), 7.92–7.86 (m, 3H), 7.67 (d, *J* = 7.8 Hz, 1H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.56 (t, *J* = 7.5 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 1H), 7.24 (s, 1H), 7.20 (t, *J* = 7.0 Hz, 1H), 7.15 (t, *J* = 7.0 Hz, 1H), 5.49 (s, 2H), 4.00 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.3, 171.5, 136.1, 135.9, 132.3, 131.5, 129.6, 129.5, 128.8, 128.8, 127.8, 127.2, 127.0, 123.3, 123.3, 122.2, 119.7, 118.9, 111.2, 108.0, 66.4, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{22}H_{17}NNaO_3^+$ ($[M+Na]^+$) 366.1101, found, 366.1107.

2-oxo-2-(thiophen-2-yl)ethyl 2-(1*H*-indol-3-yl)acetate (52)



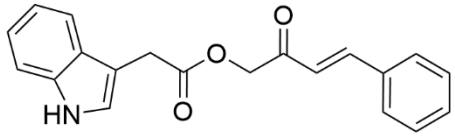
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 72% yield (21.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.25 (br s, 1H), 7.68–7.62 (m, 3H), 7.32 (d, *J* = 8.1 Hz, 1H), 7.19 (t, *J* = 7.0 Hz, 1H), 7.17–7.11 (m, 2H), 7.09 (dd, *J* = 4.9, 3.9 Hz, 1H), 5.22 (s, 2H), 3.95 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 185.6, 171.4, 140.2, 136.0, 134.3, 132.1, 128.2, 127.1, 123.4, 122.1, 119.6, 118.7, 111.2, 107.6, 66.0, 30.8. HRMS (ESI-TOF) *m/z* calcd. for $C_{16}H_{13}NNaO_3S^+$ ($[M+Na]^+$) 322.0508, found, 322.0504.

2-(furan-2-yl)-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (53)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 50% yield (19.2 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.25 (br s, 1H), 7.65 (d, *J* = 7.4 Hz, 1H), 7.57 (d, *J* = 1.0 Hz, 1H), 7.34 (d, *J* = 8.1 Hz, 1H), 7.22 (d, *J* = 3.0 Hz, 1H), 7.21–7.17 (m, 2H), 7.14 (t, *J* = 6.9 Hz, 1H), 6.52 (dd, *J* = 3.6, 1.7 Hz, 1H), 5.20 (s, 2H), 3.95 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 181.8, 171.4, 150.4, 146.8, 136.1, 127.2, 123.4, 122.1, 119.6, 118.8, 117.9, 112.4, 111.2, 107.7, 65.6, 30.8. HRMS (ESI-TOF) *m/z* calcd. for $C_{16}H_{13}NNaO_4^+$ ($[M+Na]^+$) 306.0737, found, 306.0738.

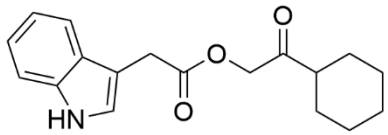
(*E*)-2-oxo-4-phenylbut-3-en-1-yl 2-(1*H*-indol-3-yl)acetate (54)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 62% yield (19.8 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.29 (br s, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.62 (d, *J* = 16.2 Hz, 1H), 7.42–7.39 (m, 3H), 7.39–7.33 (m, 3H), 7.21 (t, *J* = 8.1 Hz, 1H), 7.17–7.13 (m, 2H), 6.69 (d, *J* = 16.1 Hz, 1H), 4.93 (s, 2H), 3.94 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.9, 171.4, 144.3, 136.1, 133.9, 130.9, 128.9, 128.5, 127.1, 123.4, 122.1, 121.1, 119.7, 118.7, 111.3, 107.6, 67.7, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{20}H_{17}NNaO_3^+$ ($[M+Na]^+$) 342.1101, found,

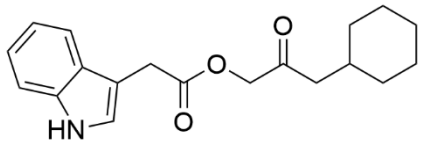
342.1099.

2-cyclohexyl-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (55)



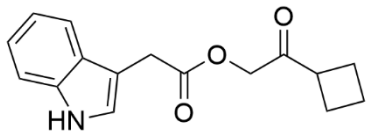
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 75% yield (22.4 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.31 (br s, 1H), 7.63 (d, *J* = 7.8 Hz, 1H), 7.30 (d, *J* = 8.1 Hz, 1H), 7.19 (t, *J* = 8.1 Hz, 1H), 7.14 (t, *J* = 8.0 Hz, 1H), 7.07 (s, 1H), 4.75 (s, 2H), 3.89 (s, 2H), 2.39–2.34 (m, 1H), 1.83–1.72 (m, 4H), 1.65–1.63 (m, 1H), 1.40–1.33 (m, 2H), 1.25–1.15 (m, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 206.8, 171.4, 136.0, 127.1, 123.4, 122.0, 119.5, 118.6, 111.2, 107.5, 66.9, 47.1, 30.8, 28.0, 25.5, 25.3. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{21}NNaO_3^+$ ($[M+Na]^+$) 322.1414, found, 322.1414.

3-cyclohexyl-2-oxopropyl 2-(1*H*-indol-3-yl)acetate (56)



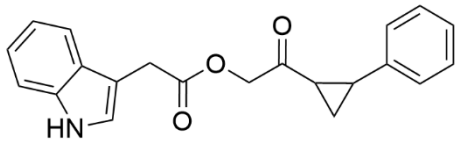
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 96% yield (30.0 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.31 (br s, 1H), 7.63 (d, *J* = 8.9 Hz, 1H), 7.30 (d, *J* = 8.0 Hz, 1H), 7.20 (t, *J* = 8.1 Hz, 1H), 7.15 (t, *J* = 8.0 Hz, 1H), 7.06 (s, 1H), 4.64 (s, 2H), 3.90 (s, 2H), 2.21 (d, *J* = 6.9 Hz, 2H), 1.86–1.78 (m, 1H), 1.67–1.64 (m, 5H), 1.29–1.20 (m, 2H), 1.16–1.08 (m, 1H), 0.91–0.83 (m, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 203.9, 171.4, 136.0, 127.0, 123.4, 122.0, 119.5, 118.6, 111.2, 107.4, 68.6, 46.2, 33.5, 32.9, 30.7, 26.0, 25.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{23}NNaO_3^+$ ($[M+Na]^+$) 336.1570, found, 336.1565.

2-cyclobutyl-2-oxoethyl 2-(1*H*-indol-3-yl)acetate (57)



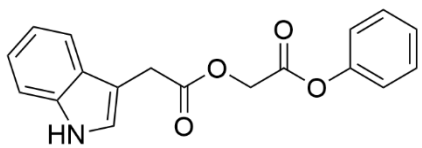
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (5/1) to afford a yellow oil in 89% yield (24.1 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.29 (br s, 1H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.32 (d, *J* = 8.1 Hz, 1H), 7.20 (t, *J* = 8.1 Hz, 1H), 7.15 (t, *J* = 7.9 Hz, 1H), 7.11 (s, 1H), 4.66 (s, 2H), 3.90 (s, 2H), 3.28–3.22 (m, 1H), 2.31–2.22 (m, 2H), 2.14–2.04 (m, 2H), 2.00–1.89 (m, 1H), 1.88–1.79 (m, 1H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 205.0, 171.4, 136.0, 127.1, 123.4, 122.1, 119.6, 118.6, 111.2, 107.6, 66.5, 41.8, 30.8, 24.0, 18.1. HRMS (ESI-TOF) *m/z* calcd. for $C_{16}H_{17}NNaO_3^+$ ($[M+Na]^+$) 294.1101, found, 294.1101.

2-oxo-2-(2-phenylcyclopropyl)ethyl 2-(1*H*-indol-3-yl)acetate (58)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a colorless oil in 96% yield (32.0 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.14 (br s, 1H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.1 Hz, 1H), 7.28 (t, *J* = 7.5 Hz, 2H), 7.22 (q, *J* = 7.6 Hz, 2H), 7.14 (t, *J* = 7.5 Hz, 1H), 7.11 (s, 1H), 7.01 (d, *J* = 7.6 Hz, 2H), 4.83 (s, 2H), 3.89 (s, 2H), 2.60–2.57 (m, 1H), 2.12–2.09 (m, 1H), 1.74–1.71 (m, 1H), 1.43–1.33 (m, 1H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 202.3, 171.3, 139.6, 136.0, 128.5, 127.1, 126.7, 126.0, 123.3, 122.2, 119.7, 118.7, 111.2, 107.7, 68.8, 30.9, 29.5, 28.8, 19.2. HRMS (ESI-TOF) *m/z* calcd. for $C_{21}H_{19}NNaO_3^+$ ($[M+Na]^+$) 356.1257, found, 356.1256.

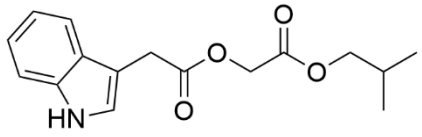
2-oxo-2-phenoxyethyl 2-(1*H*-indol-3-yl)acetate (59)



The title compound was prepared according to the general procedure and purified by column chromatography on

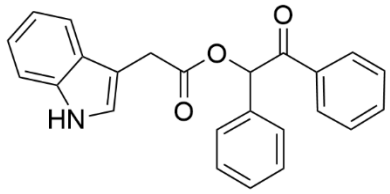
silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow oil in 58% yield (17.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.14 (br s, 1H), 7.66 (d, *J* = 7.6 Hz, 1H), 7.43–7.36 (m, 3H), 7.27 (d, *J* = 7.5 Hz, 1H), 7.24–7.21 (m, 2H), 7.16 (t, *J* = 7.0 Hz, 1H), 7.11 (d, *J* = 7.6 Hz, 1H), 4.91 (s, 2H), 3.97 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 171.4, 166.5, 150.0, 136.0, 129.5, 127.1, 126.2, 123.2, 122.3, 121.3, 119.8, 118.8, 111.2, 107.7, 61.0, 30.8. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{15}NNaO_4^+$ ([M+Na]$^+$) 332.0893, found, 332.0898.

2-oxo-2-phenoxyethyl 2-(1*H*-indol-3-yl)acetate (60)



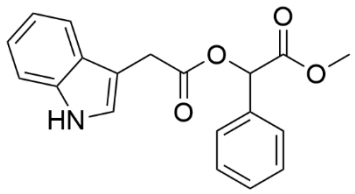
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a colorless oil in 62% yield (17.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.15 (br s, 1H), 7.63 (d, *J* = 7.0 Hz, 1H), 7.34 (d, *J* = 8.1 Hz, 1H), 7.20 (t, *J* = 8.2 Hz, 1H), 7.18 (d, *J* = 2.4 Hz, 1H), 7.14 (t, *J* = 7.0 Hz, 1H), 4.66 (s, 2H), 3.94 (d, *J* = 6.7 Hz, 2H), 3.90 (s, 2H), 1.91–1.87 (m, 1H), 0.90 (d, *J* = 6.7 Hz, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 171.4, 167.9, 136.0, 127.2, 123.2, 122.2, 119.7, 118.8, 111.2, 107.8, 71.3, 61.0, 30.8, 27.6, 18.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{16}H_{19}NNaO_4^+$ ([M+Na]$^+$) 312.1206, found, 312.1207.

2-oxo-1,2-diphenylethyl 2-(1*H*-indol-3-yl)acetate (61)



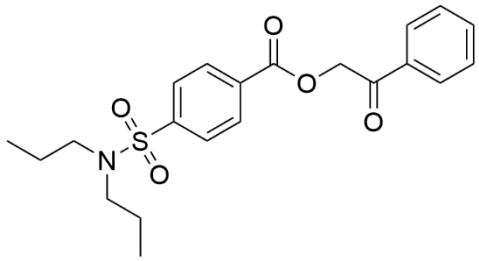
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a white solid in 50% yield (18.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.21 (br s, 1H), 7.93 (d, *J* = 7.4 Hz, 2H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.51–7.45 (m, 3H), 7.41–7.33 (m, 5H), 7.29 (d, *J* = 8.1 Hz, 1H), 7.17 (t, *J* = 7.4 Hz, 1H), 7.13–7.09 (m, 2H), 6.91 (s, 1H), 4.03–3.87 (m, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 194.0, 171.6, 136.0, 134.5, 133.5, 133.4, 129.2, 129.0, 128.8, 128.6, 127.2, 123.4, 122.0, 119.5, 118.8, 111.2, 107.6, 77.9, 30.8. HRMS (ESI-TOF) *m/z* calcd. for $C_{24}H_{19}NNaO_3^+$ ([M+Na]$^+$) 329.1257, found, 392.1253.

methyl 2-(2-(1*H*-indol-3-yl)acetoxy)-2-phenylacetate (62)



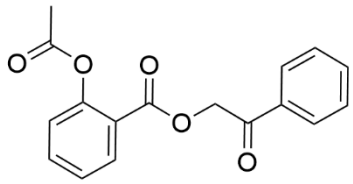
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (5/1) to afford a white solid in 47% yield (15.2 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.18 (br s, 1H), 7.62 (d, *J* = 8.7 Hz, 1H), 7.49–7.45 (m, 2H), 7.40–7.37 (m, 3H), 7.33 (d, *J* = 8.1 Hz, 1H), 7.19 (t, *J* = 8.1 Hz, 1H), 7.15 (d, *J* = 2.4 Hz, 1H), 7.13 (t, *J* = 8.0 Hz, 1H), 5.99 (s, 1H), 4.00–3.88 (m, 2H), 3.69 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 171.4, 169.3, 136.0, 133.7, 129.2, 128.7, 127.6, 127.1, 123.3, 122.1, 119.6, 118.8, 111.2, 107.6, 74.7, 52.6, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{19}H_{17}NNaO_4^+$ ([M+Na]$^+$) 346.1050, found, 346.1047.

2-oxo-2-phenylethyl 4-(*N*,*N*-dipropylsulfamoyl)benzoate (63)



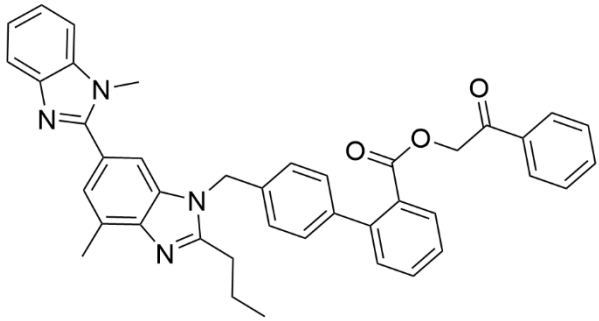
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (5/1) to afford a yellow solid in 88% yield (35.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.25 (d, *J* = 8.4 Hz, 2H), 7.96 (d, *J* = 8.4 Hz, 2H), 7.90 (d, *J* = 8.3 Hz, 2H), 7.63 (t, *J* = 7.5 Hz, 1H), 7.51 (t, *J* = 7.8 Hz, 2H), 5.62 (s, 2H), 3.13–3.05 (m, 4H), 1.58–1.51 (m, 4H), 0.87 (t, *J* = 7.4 Hz, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.5, 164.7, 144.5, 134.1, 134.0, 132.7, 130.6, 128.9, 127.8, 127.0, 66.8, 49.9, 21.9, 11.1. HRMS (ESI-TOF) *m/z* calcd. for $C_{21}H_{25}NNaO_5S^+$ ([M+Na]$^+$) 426.1346. found, 426.1357.

2-oxo-2-phenylethyl 2-acetoxybenzoate (64)



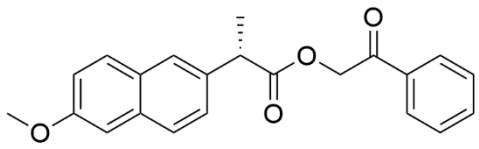
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (1/2) to afford a yellow solid in 74% yield (22.1 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.16 (d, *J* = 9.5 Hz, 1H), 7.94 (d, *J* = 9.4 Hz, 2H), 7.64–7.56 (m, 2H), 7.49 (t, *J* = 7.8 Hz, 2H), 7.34 (t, *J* = 7.1 Hz, 1H), 7.13 (d, *J* = 9.1 Hz, 1H), 5.53 (s, 2H), 2.33 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.6, 169.7, 163.8, 150.8, 134.2, 134.1, 133.9, 132.0, 128.9, 127.8, 126.0, 123.8, 122.6, 66.4, 21.0. HRMS (ESI-TOF) *m/z* calcd. for $C_{17}H_{14}NaO_5^+$ ([M+Na]$^+$) 321.0733. found, 321.0688.

2-oxo-2-phenylethyl 4'-((1,7'-dimethyl-2'-propyl-1*H*,3'*H*-[2,5'-bibenzo[*d*]imidazol]-3'-yl)methyl)-[1,1'-biphenyl]-2-carboxylate (65)



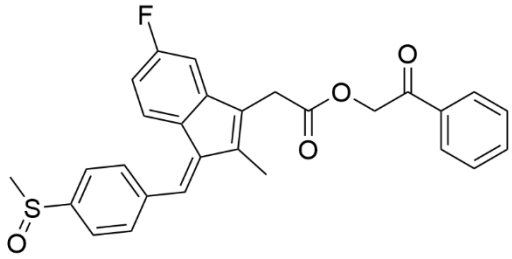
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (1/4) to afford a yellow oil in 64% yield (40.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.03 (d, *J* = 6.6 Hz, 1H), 7.81 (d, *J* = 7.2 Hz, 2H), 7.77 (d, *J* = 7.4 Hz, 1H), 7.54–7.51 (m, 2H), 7.45–7.36 (m, 5H), 7.34–7.28 (m, 3H), 7.25–7.22 (m, 3H), 7.07 (d, *J* = 8.2 Hz, 2H), 5.39 (s, 2H), 5.33 (s, 2H), 3.68 (s, 3H), 2.95–2.85 (m, 2H), 2.76 (s, 3H), 1.87–1.81 (m, 2H), 1.01 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.7, 167.0, 156.4, 154.5, 143.0, 142.8, 142.3, 140.7, 136.6, 134.8, 134.7, 134.0, 133.7, 131.8, 130.9, 130.5, 129.3, 129.3, 129.2, 128.7, 127.6, 127.4, 125.8, 123.8, 123.7, 122.3, 122.1, 119.4, 109.4, 108.8, 66.3, 47.0, 31.7, 29.7, 21.8, 16.8, 14.0. HRMS (ESI-TOF) *m/z* calcd. for $C_{41}H_{37}N_4O_3^+$ ([M+H]$^+$) 633.2860. found, 633.2874.

2-oxo-2-phenylethyl (*S*)-2-(6-methoxynaphthalen-2-yl)propanoate (66)



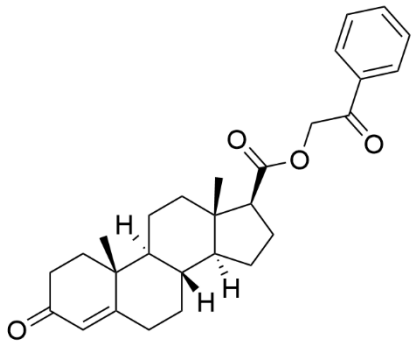
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (5/1) to afford a yellow solid in 78% yield (27.2 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.85 (d, *J* = 7.2 Hz, 2H), 7.74–7.69 (m, 3H), 7.56 (t, *J* = 7.5 Hz, 1H), 7.47 (d, *J* = 10.3 Hz, 1H), 7.42 (t, *J* = 7.8 Hz, 2H), 7.16–7.10 (m, 2H), 5.37 (d, *J* = 16.3 Hz, 1H), 5.22 (d, *J* = 16.3 Hz, 1H), 4.06 (q, *J* = 7.2 Hz, 1H), 3.91 (s, 3H), 1.67 (d, *J* = 7.2 Hz, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.3, 174.2, 157.7, 135.3, 134.2, 133.8, 133.8, 129.4, 128.8, 127.8, 127.2, 126.4, 126.2, 119.0, 105.6, 66.4, 55.3, 45.2, 18.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{22}H_{20}NaO_4^+$ ([M+Na]$^+$) 371.1254. found, 371.1247.

2-oxo-2-phenylethyl (*Z*)-2-(5-fluoro-2-methyl-1-(4-(methylsulfinyl)benzylidene)-1*H*-inden-3-yl)acetate (67)



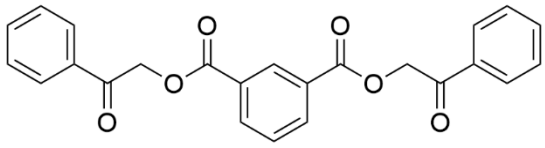
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 62% yield (29.5 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.87 (d, *J* = 9.6 Hz, 2H), 7.70 (d, *J* = 8.3 Hz, 2H), 7.65 (d, *J* = 8.1 Hz, 2H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 7.16–7.12 (m, 2H), 6.95 (d, *J* = 11.2 Hz, 1H), 6.57–6.52 (m, 1H), 5.35 (s, 2H), 3.74 (s, 2H), 2.79 (s, 3H), 2.22 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.8, 169.7, 164.1, 162.5, 145.4, 141.6, 139.6, 138.5, 134.0, 133.9, 131.3 (d, *J* = 2.3 Hz), 130.2, 129.4 (d, *J* = 2.7 Hz), 128.8, 128.2 (d, *J* = 1.3 Hz), 127.7, 123.8, 123.6 (d, *J* = 9.1 Hz), 110.7 (d, *J* = 22.7 Hz), 106.2 (d, *J* = 23.9 Hz), 66.5, 43.8, 31.1, 10.5. ^{19}F NMR (564 MHz, $CDCl_3$): δ -112.7 (s, 1F). HRMS (ESI-TOF) *m/z* calcd. for $C_{28}H_{23}FNaO_4S^+$ ([M+Na]$^+$) 497.1193. found, 497.1196.

2-oxo-2-phenylethyl-(8*S*,9*S*,10*R*,13*S*,14*S*,17*S*)-10,13-dimethyl-3-oxo-2,3,6,7,8,9,10,11,12,13,14,15,16,17-tetradecahydro-1*H*-cyclopenta[*a*]phenanthrene-17-carboxylate (68)



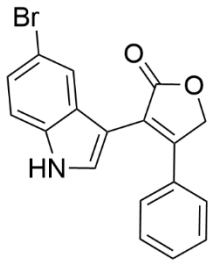
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow oil in 85% yield (36.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.89 (d, *J* = 9.5 Hz, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 2H), 5.70 (s, 1H), 5.45 (d, *J* = 16.4 Hz, 1H), 5.20 (d, *J* = 16.4 Hz, 1H), 2.50 (t, *J* = 9.5 Hz, 1H), 2.45–2.34 (m, 2H), 2.33–2.29 (m, 1H), 2.27–2.23 (m, 2H), 2.20–2.11 (m, 1H), 2.04–1.97 (m, 1H), 1.91–1.80 (m, 2H), 1.75–1.64 (m, 2H), 1.61–1.52 (m, 2H), 1.49–1.41 (m, 1H), 1.39–1.26 (m, 2H), 1.16 (s, 3H), 1.16–1.09 (m, 1H), 1.09–0.99 (m, 1H), 0.98–0.92 (m, 1H), 0.83 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 199.4, 192.3, 173.2, 171.1, 134.2, 133.7, 128.7, 127.6, 123.7, 65.6, 55.3, 54.7, 53.6, 44.2, 38.5, 37.6, 35.6, 35.6, 33.8, 32.7, 31.8, 24.3, 23.7, 20.8, 17.3, 13.0. HRMS (ESI-TOF) *m/z* calcd. for $C_{28}H_{35}O_4^+$ ($[M+H]^+$) 435.2530. found, 435.2537.

bis(2-oxo-2-phenylethyl) isophthalate (70)



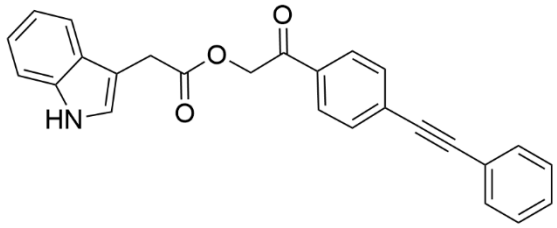
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (5/1) to afford a yellow oil in 67% yield (26.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.90 (s, 1H), 8.37 (d, *J* = 9.5 Hz, 2H), 7.97 (d, *J* = 9.6 Hz, 4H), 7.67–7.58 (m, 3H), 7.51 (t, *J* = 7.8 Hz, 4H), 5.61 (s, 4H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.7, 165.2, 134.6, 134.2, 134.0, 131.5, 130.0, 128.9, 128.8, 127.8, 66.7. HRMS (ESI-TOF) *m/z* calcd. for $C_{24}H_{18}NaO_6^+$ ($[M+Na]^+$) 425.0996. found, 425.1003.

3-(5-bromo-1*H*-indol-3-yl)-4-phenylfuran-2(5*H*)-one (71)



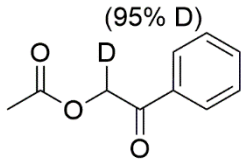
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 79% yield (55.8 mg). ^{1}H NMR (600 MHz, DMSO-d_6): δ 11.74 (s, 1H), 7.74 (d, J = 2.7 Hz, 1H), 7.42–7.40 (m, 4H), 7.38–7.34 (m, 2H), 7.18 (d, J = 10.5 Hz, 1H), 6.79 (d, J = 1.8 Hz, 1H), 5.41 (s, 2H). ^{13}C NMR (150 MHz, DMSO-d_6): δ 173.7, 153.8, 134.9, 131.7, 130.1, 128.7, 128.6, 127.5, 126.3, 123.9, 122.6, 118.6, 113.9, 111.7, 104.1, 70.8. HRMS (ESI-TOF) *m/z* calcd. for $C_{18}H_{12}BrNNaO_2^+$ ([M+Na]$^+$) 357.9944. found, 357.9947.

2-oxo-2-(4-(phenylethynyl)phenyl)ethyl 2-(1*H*-indol-3-yl)acetate (73)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (2/1) to afford a yellow solid in 83% yield (65.3 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.13 (br s, 1H), 7.86 (d, J = 8.5 Hz, 2H), 7.66 (d, J = 8.0 Hz, 1H), 7.58 (d, J = 8.5 Hz, 2H), 7.56–7.53 (m, 2H), 7.39–7.35 (m, 5H), 7.21 (t, J = 8.1 Hz, 1H), 7.15 (t, J = 8.0 Hz, 1H), 5.34 (s, 2H), 3.98 (s, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 191.6, 171.4, 136.1, 133.2, 131.9, 131.8, 129.0, 128.9, 128.5, 127.8, 127.2, 123.2, 122.5, 122.3, 119.8, 118.9, 111.2, 108.0, 93.2, 88.4, 66.3, 30.9. HRMS (ESI-TOF) *m/z* calcd. for $C_{20}H_{19}NNaO_3^+$ ([M+Na]$^+$) 416.1257. found, 416.1255.

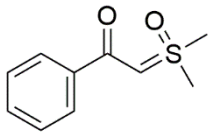
2-oxo-2-phenylethyl-1-*d* acetate (38-*d*)



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (10/1) to afford a yellow oil in 75% yield (13.4 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.89 (d, *J* = 9.6 Hz, 2H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 5.32 (s, 1H), 2.21 (s, 3H).

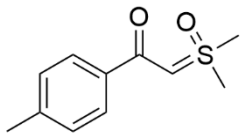
9. Characterization Data for Sulfoxonium Ylides

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-phenylethan-1-one (2a)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 88% yield (1.174 g). ^{1}H NMR (500 MHz, $CDCl_3$): δ 7.80–7.73 (m, 2H), 7.43–7.38 (m, 1H), 7.38–7.34 (m, 2H), 4.98 (s, 1H), 3.47 (s, 6H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 182.3, 138.8, 130.7, 128.1, 126.4, 68.5, 42.3.

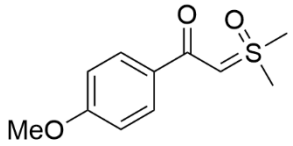
2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(*p*-tolyl)ethan-1-one (2b)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 89% yield (1.273 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.68 (d, *J* = 8.2 Hz, 2H), 7.17 (d, *J* = 8.0 Hz, 2H), 4.95 (s, 1H), 3.49 (s, 6H), 2.36 (s, 3H). ^{13}C

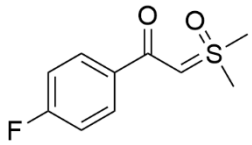
NMR (150 MHz, $CDCl_3$): δ 182.3, 141.0, 136.1, 128.8, 126.5, 67.8, 42.5, 21.4.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-phenylethan-1-one (2c)[1]



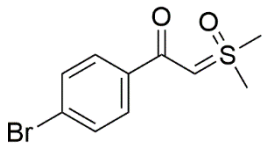
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 87% yield (1.338 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.74 (d, *J* = 8.8 Hz, 2H), 6.87 (d, *J* = 8.8 Hz, 2H), 4.91 (s, 1H), 3.82 (s, 3H), 3.48 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 181.9, 161.6, 131.6, 128.3, 113.4, 67.4, 55.4, 42.6.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(4-fluorophenyl)ethan-1-one (2d)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 87% yield (1.267 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.80–7.75 (m, 2H), 7.04 (t, *J* = 8.7 Hz, 2H), 4.92 (s, 1H), 3.50 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 181.0, 164.4 (d, *J* = 250.3 Hz), 135.0 (d, *J* = 2.9 Hz), 128.7 (d, *J* = 8.8 Hz), 115.0 (d, *J* = 21.7 Hz), 68.2, 42.4. ^{19}F NMR (564 MHz, $CDCl_3$): δ -109.9 (s, 1F).

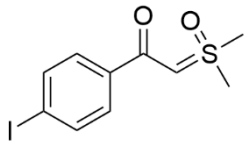
1-(4-bromophenyl)-2-(dimethyl(oxo)-λ^6-sulfaneylidene)ethan-1-one (2e)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 88% yield (1.646 g). ^{1}H NMR

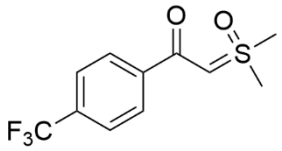
(500 MHz, $CDCl_3$): δ 7.63 (d, *J* = 7.9 Hz, 2H), 7.49 (d, *J* = 7.9 Hz, 2H), 4.94 (s, 1H), 3.48 (s, 6H). ^{13}C NMR (125 MHz, $CDCl_3$): δ 181.0, 137.8, 131.3, 128.2, 125.2, 68.7, 42.4.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(4-iodophenyl)ethan-1-one (2f)[1]



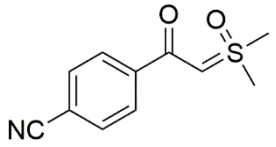
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a white solid in 87% yield (1.906 g). ^1H NMR (600 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.5 Hz, 2H), 7.49 (d, *J* = 8.5 Hz, 2H), 4.94 (s, 1H), 3.49 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 181.1, 138.3, 137.3, 128.2, 97.5, 68.6, 42.3.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(4-(trifluoromethyl)phenyl)ethan-1-one (2g)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a white solid in 78% yield (1.402 g). ^1H NMR (600 MHz, $CDCl_3$): δ 7.88 (d, *J* = 8.1 Hz, 2H), 7.64 (d, *J* = 8.2 Hz, 2H), 5.00 (s, 1H), 3.52 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 180.5, 142.1, 132.3 (q, *J* = 32.4 Hz), 126.9, 125.2 (q, *J* = 3.7 Hz), 123.9 (d, *J* = 272.3 Hz), 69.4, 42.3. ^{19}F NMR (564 MHz, $CDCl_3$): δ -62.7 (s, 3F).

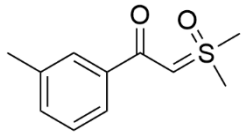
4-(2-(dimethyl(oxo)-λ^6-sulfaneylidene)acetyl)benzonitrile (2h)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 80% yield (1.204 g). ^1H NMR

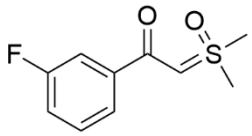
(600 MHz, $CDCl_3$): δ 7.86 (d, *J* = 8.3 Hz, 2H), 7.68 (d, *J* = 8.3 Hz, 2H), 5.00 (s, 1H), 3.53 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 179.7, 142.8, 132.1, 127.1, 118.6, 114.0, 69.9, 42.3.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(*m*-tolyl)ethan-1-one (2i)[1]



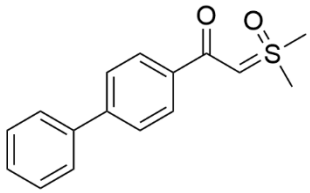
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 72% yield (1.030 g). ^1H NMR (600 MHz, $CDCl_3$): δ 7.57 (s, 1H), 7.52 (d, *J* = 7.2 Hz, 1H), 7.24–7.17 (m, 2H), 5.00 (s, 1H), 3.45 (s, 6H), 2.32 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 182.5, 138.7, 137.7, 131.3, 127.9, 127.1, 123.5, 69.0, 42.0, 21.2.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(3-fluorophenyl)ethan-1-one (2j)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 88% yield (1.282 g). ^1H NMR (600 MHz, $CDCl_3$): δ 7.54 (d, *J* = 7.7 Hz, 1H), 7.48 (d, *J* = 9.8 Hz, 1H), 7.35–7.32 (m, 1H), 7.15–7.07 (m, 1H), 4.95 (s, 1H), 3.50 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 180.6 (d, *J* = 2.1 Hz), 162.8 (d, *J* = 246.3 Hz), 141.3 (d, *J* = 6.3 Hz), 129.7 (d, *J* = 7.7 Hz), 122.1 (d, *J* = 2.8 Hz), 117.5 (d, *J* = 21.4 Hz), 113.5 (d, *J* = 22.3 Hz), 68.8, 42.3. ^{19}F NMR (564 MHz, $CDCl_3$): δ -113.0 (s, 1F).

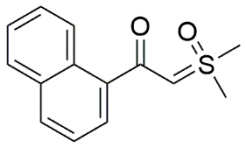
1-([1,1'-biphenyl]-4-yl)-2-(dimethyl(oxo)-λ^6-sulfaneylidene)ethan-1-one (2k)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on

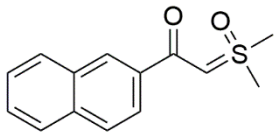
silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 84% yield (1.556 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.86 (d, *J* = 8.3 Hz, 2H), 7.62 (dd, *J* = 7.7, 3.4 Hz, 4H), 7.44 (t, *J* = 7.7 Hz, 2H), 7.36 (t, *J* = 7.4 Hz, 1H), 5.03 (s, 1H), 3.52 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 181.8, 143.4, 140.3, 137.6, 128.8, 127.6, 127.1, 127.0, 126.8, 68.3, 42.4.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(naphthalen-1-yl)ethan-1-one (2l)[1]



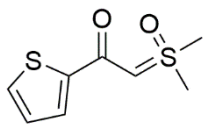
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 80% yield (1.340 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.50 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 7.3 Hz, 2H), 7.64 (d, *J* = 7.0 Hz, 1H), 7.514–7.454 (m, 2H), 7.42 (t, *J* = 7.6 Hz, 1H), 4.83 (s, 1H), 3.56 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 186.2, 139.0, 133.8, 130.2, 129.7, 128.1, 126.4, 125.9, 125.9, 125.1, 124.7, 72.2, 42.3.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(naphthalen-2-yl)ethan-1-one (2m)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a white solid in 83% yield (1.390 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 8.34 (s, 1H), 7.94–7.86 (m, 4H), 7.55–7.50 (m, 2H), 5.15 (s, 1H), 3.57 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 182.2, 136.2, 134.6, 132.8, 129.1, 127.8, 127.6, 127.1, 126.8, 126.3, 123.7, 68.7, 42.5.

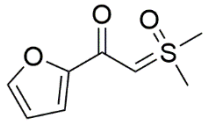
2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(thiophen-2-yl)ethan-1-one (2n)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on

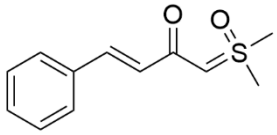
silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 77% yield (1.059 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.43 (d, *J* = 3.6 Hz, 1H), 7.39 (d, *J* = 4.9 Hz, 1H), 7.03 (t, *J* = 4.2 Hz, 1H), 4.88 (s, 1H), 3.50 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 175.6, 145.6, 129.0, 127.5, 127.0, 67.1, 42.7.

2-(dimethyl(oxo)-λ^6-s ulfaneylidene)-1-(furan-2-yl)ethan-1-one (2o)[1]



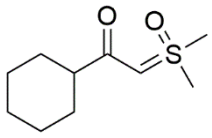
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 88% yield (1.114 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.39 (dd, *J* = 1.6, 0.7 Hz, 1H), 6.90 (d, *J* = 3.4 Hz, 1H), 6.41 (dd, *J* = 3.4, 1.7 Hz, 1H), 5.27 (s, 1H), 3.49 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 173.7, 172.2, 153.3, 143.5, 111.5 (d, *J* = 11.3 Hz), 68.3, 42.5.

(*E*)-1-(dimethyl(oxo)-λ^6-sulfaneylidene)-4-phenylbut-3-en-2-one (2p)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a brown solid in 65% yield (0.983 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.45–7.42 (m, 2H), 7.38 (d, *J* = 15.8 Hz, 1H), 7.28 (t, *J* = 7.2 Hz, 2H), 7.26–7.23 (m, 1H), 6.53 (d, *J* = 15.8 Hz, 1H), 4.64 (s, 1H), 3.44 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 180.0, 136.4, 135.4, 128.9, 128.5, 127.5, 126.8, 72.7, 42.0.

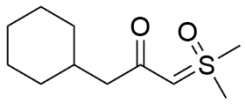
1-cyclohexyl-2-(dimethyl(oxo)-λ^6-sulfaneylidene)ethan-1-one (2q)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a white solid in 74% yield (1.018 g). ^{1}H NMR (600

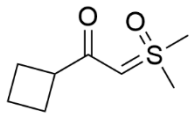
MHz, $CDCl_3$): δ 4.32 (s, 1H), 3.35 (s, 6H), 2.02 (t, *J* = 9.9 Hz, 1H), 1.79 (d, *J* = 11.7 Hz, 2H), 1.72 (d, *J* = 9.9 Hz, 2H), 1.61 (d, *J* = 9.8 Hz, 1H), 1.33–1.11 (m, 5H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 194.8, 67.5, 49.0, 42.3, 30.0, 26.0, 26.0.

1-cyclohexyl-3-(dimethyl(oxo)-λ^6-sulfaneylidene)propan-2-one (2r)[1]



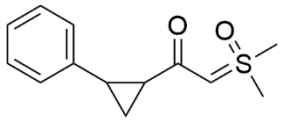
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 65% yield (0.956 g). ^1H NMR (600 MHz, $CDCl_3$): δ 4.35 (s, 1H), 3.38 (s, 6H), 2.02 (d, *J* = 6.4 Hz, 2H), 1.71 (d, *J* = 12.0 Hz, 3H), 1.68–1.60 (m, 3H), 1.24 (q, *J* = 12.4 Hz, 2H), 1.12 (q, *J* = 12.3 Hz, 1H), 0.91 (q, *J* = 11.9 Hz, 2H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 190.6, 69.9, 49.0, 42.3, 35.6, 33.3, 26.4, 26.2.

1-cyclobutyl-2-(dimethyl(oxo)-λ^6-sulfaneylidene)ethan-1-one (2s)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a white solid in 66% yield (0.782 g).^1H NMR (600 MHz, $CDCl_3$): δ 4.31 (s, 1H), 3.38 (s, 6H), 3.07–2.97 (m, 1H), 2.23–2.13 (m, 2H), 2.11–2.02 (m, 2H), 1.96–1.84 (m, 1H), 1.79–1.74 (m, 1H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 192.9, 67.1, 43.9, 42.4, 25.6, 17.9.

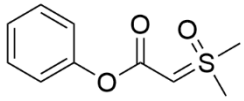
2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(2-phenylcyclopropyl)ethan-1-one (2t)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a brown solid in 59% yield (0.948 g). ^1H NMR (600 MHz, $CDCl_3$): δ 7.23 (t, *J* = 7.5 Hz, 2H), 7.14 (t, *J* = 7.3 Hz, 1H), 7.07 (d, *J* = 7.6 Hz, 2H), 4.57 (s, 1H), 3.37 (d, *J* = 3.6 Hz, 6H), 2.42–2.38 (m, 1H), 1.84–1.81 (m, 1H), 1.57–1.53 (m, 1H), 1.16–1.10 (m, 1H). ^{13}C NMR (150 MHz,

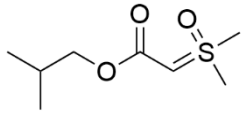
$CDCl_3$): δ 187.9, 141.8, 128.3, 126.0, 125.9, 69.6, 42.3, 31.1, 25.3, 16.6.

phenyl 2-(dimethyl(oxo)-λ^6-sulfaneylidene)acetate (2u)[1]



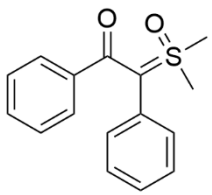
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (1/4) to afford a white solid in 61% yield (0.880 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.33 (t, *J* = 7.8 Hz, 2H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.09 (d, *J* = 7.8 Hz, 2H), 3.39 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 165.7, 151.4, 129.2, 124.9, 122.3, 55.7, 42.2.

isobutyl 2-(dimethyl(oxo)-λ^6-sulfaneylidene)acetate (2v)[1]



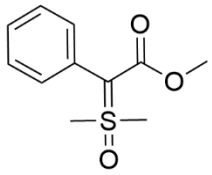
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (1/4) to afford a white solid in 53% yield (0.693 g). ^{1}H NMR (600 MHz, $CDCl_3$): δ 3.90 (s, 1H), 3.71–3.67 (m, 2H), 3.29 (s, 6H), 1.82–1.77 (m, 1H), 0.82 (d, *J* = 6.7 Hz, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 167.3, 68.7, 55.5, 41.9, 27.8, 19.0.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1,2-diphenylethan-1-one (2w)[2]



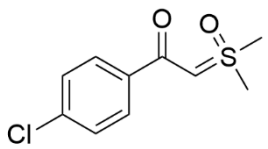
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with dichloromethane/ethyl acetate (2/1) to afford a yellow solid in 62% yield (168.9 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.35 (d, *J* = 7.2 Hz, 2H), 7.21–7.18 (m, 4H), 7.16–7.10 (m, 4H), 3.58 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 182.8, 140.0, 134.6, 131.9, 129.2, 128.5, 128.1, 127.3, 127.1, 86.9, 42.8.

methyl 2-(dimethyl(oxo)-λ^6-sulfaneylidene)-2-phenylacetate (2x)[3]



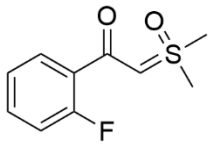
The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with petroleum ether/ethyl acetate (1/2) to afford a white solid in 63% yield (142.6 mg). ^{1}H NMR (600 MHz, $CDCl_3$): δ 7.34–7.29 (m, 4H), 7.27–7.24 (m, 1H), 3.60 (s, 3H), 3.40 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 166.7, 133.7, 132.3, 128.4, 127.2, 70.2, 50.5, 43.1.

1-(4-chlorophenyl)-2-(dimethyl(oxo)-λ^6-sulfaneylidene)ethan-1-one (2y)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 91% yield (1.428 g).^{1}H NMR (600 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.6 Hz, 2H), 7.34 (d, *J* = 8.6 Hz, 2H), 4.94 (s, 1H), 3.49 (s, 6H).^{13}C NMR (150 MHz, $CDCl_3$): δ 180.9, 137.3, 136.7, 128.3, 127.9, 68.5, 42.4.

2-(dimethyl(oxo)-λ^6-sulfaneylidene)-1-(2-fluorophenyl)ethan-1-one (2z)[1]



The title compound was prepared according to the general procedure and purified by column chromatography on silica gel and eluted with ethyl acetate/methanol (20/1) to afford a yellow solid in 91% yield (1.326 g).^{1}H NMR (600 MHz, $CDCl_3$): δ 7.87 (t, *J* = 7.8 Hz, 1H), 7.37–7.31 (m, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.02 (dd, *J* = 12.4, 8.2 Hz, 1H), 5.15 (s, 1H), 3.50 (s, 6H). ^{13}C NMR (150 MHz, $CDCl_3$): δ 177.4 (d, *J* = 2.8 Hz), 160.7 (d, *J* = 251.1 Hz), 131.9 (d, *J* = 8.8 Hz), 129.9 (d, *J* = 2.9 Hz), 126.7 (d, *J* = 12.9 Hz), 124.0 (d, *J* = 3.5Hz), 115.9 (d, *J* = 24.1 Hz), 73.6 (d, *J* = 12.9 Hz), 42.2. ^{19}F NMR (564 MHz, $CDCl_3$): δ -111.9 (s, 1F).

10. References

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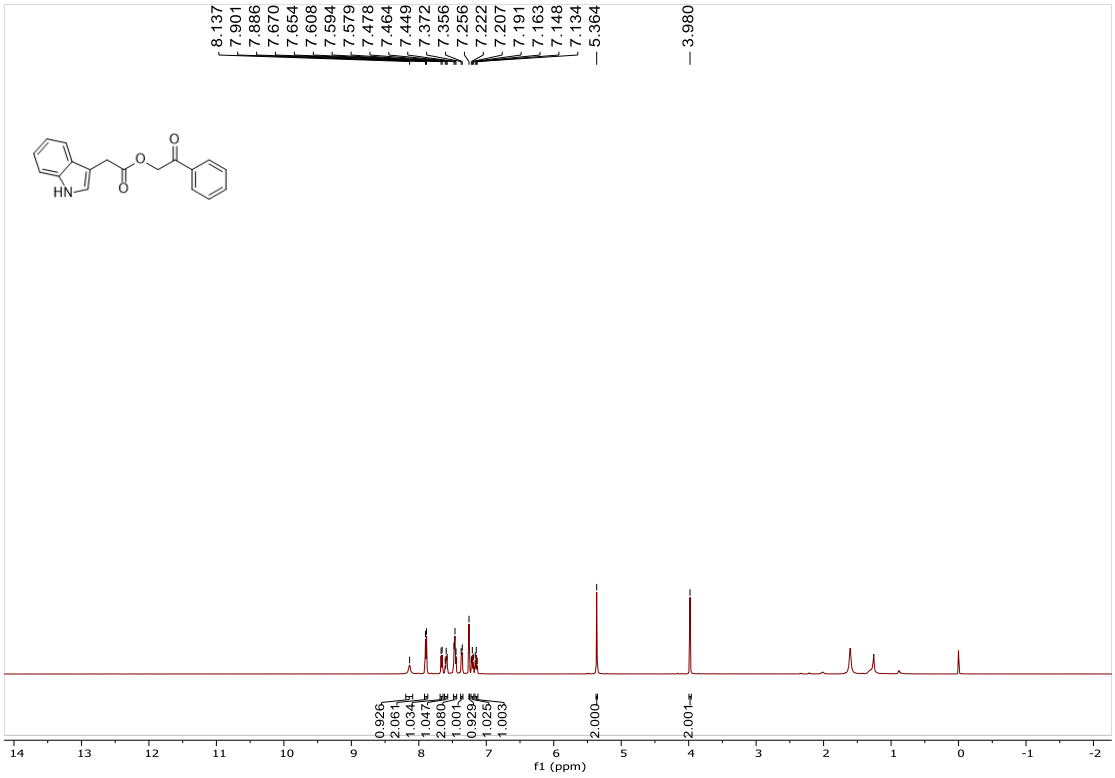
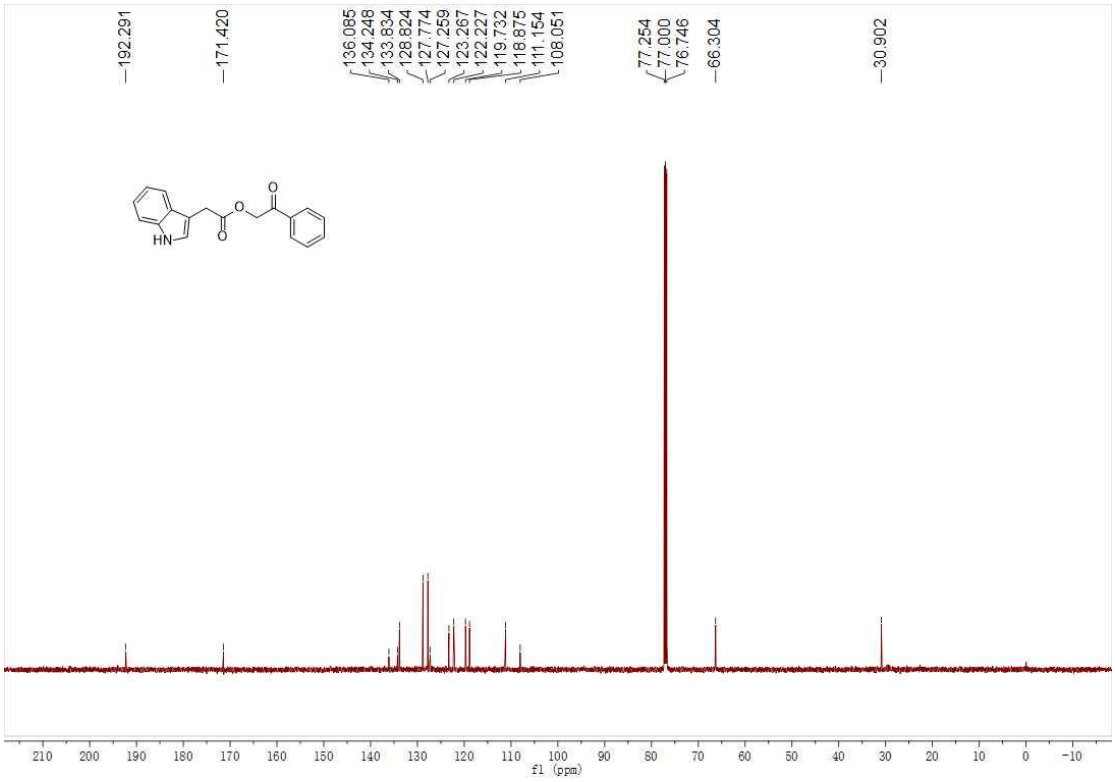
11. NMR Spectral Data for Isolated Products and Sulfoxonium Ylides

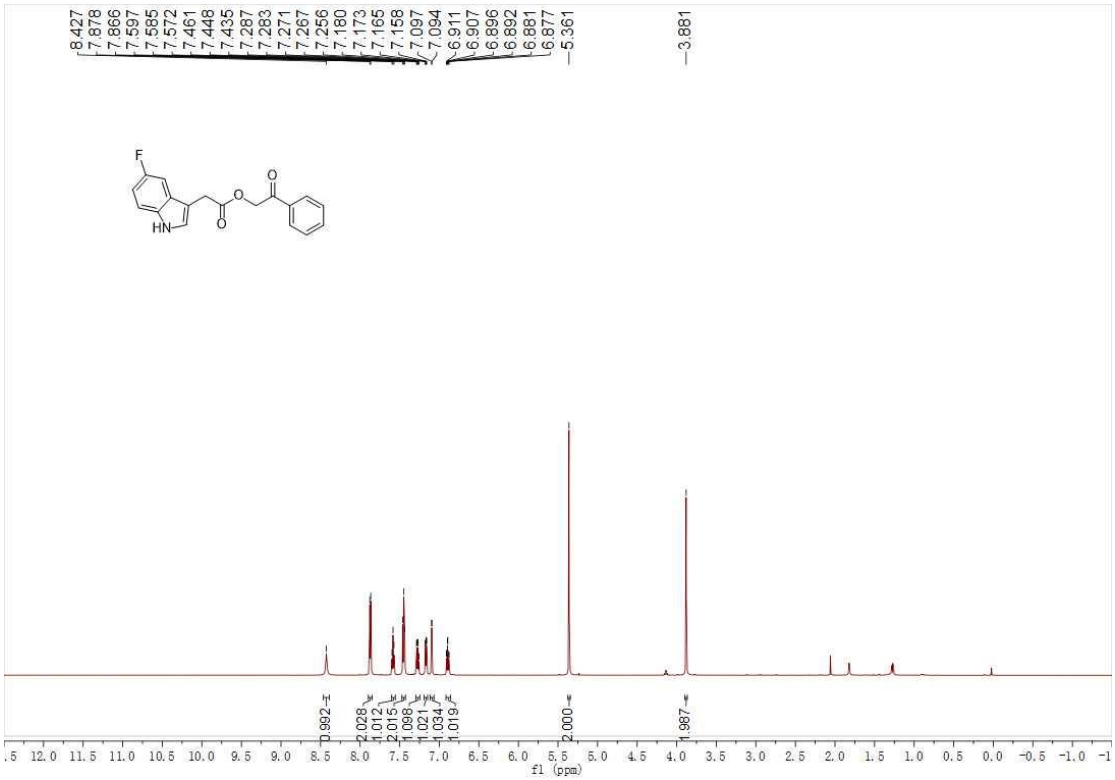
^{1}H NMR (500 MHz, $CDCl_3$) Spectrum of **3**



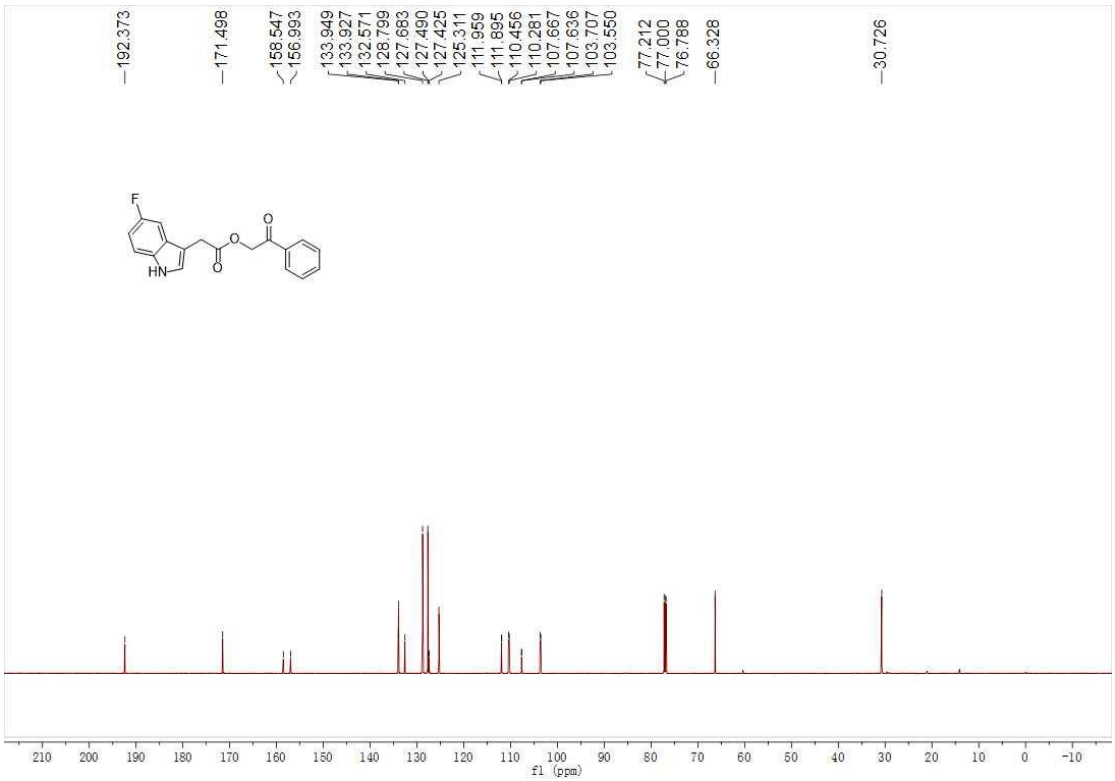
^{13}C NMR (125 MHz, $CDCl_3$) Spectrum of **3**



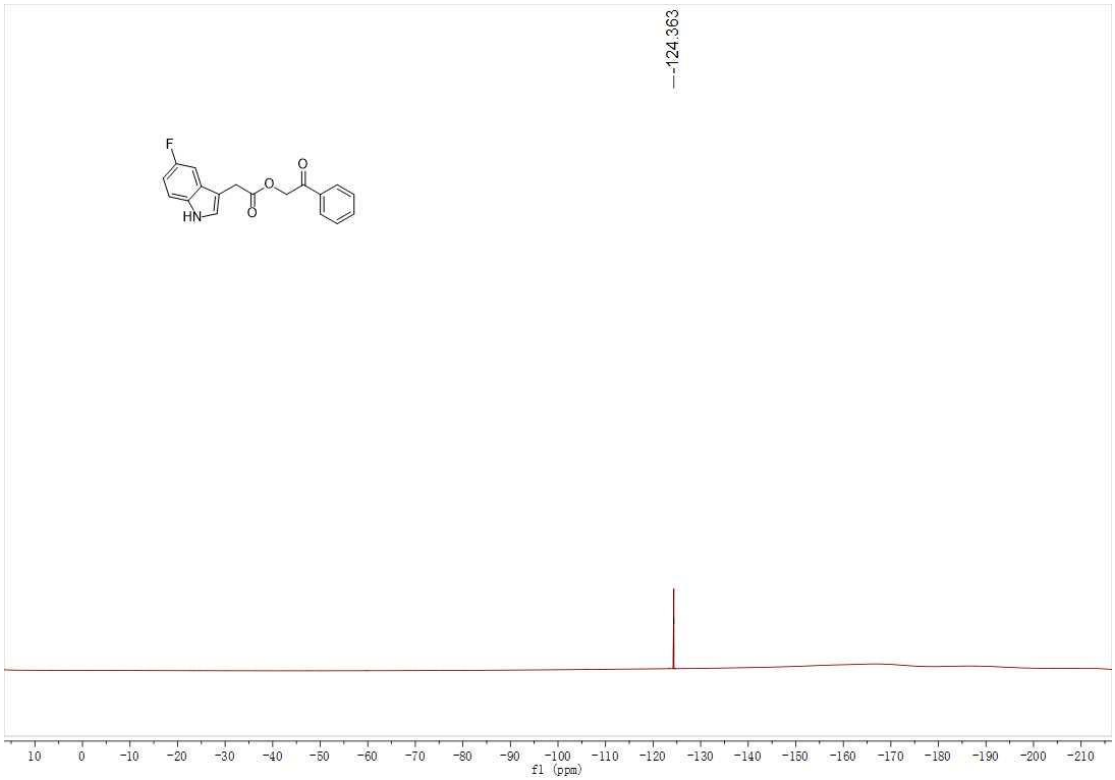
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **4**



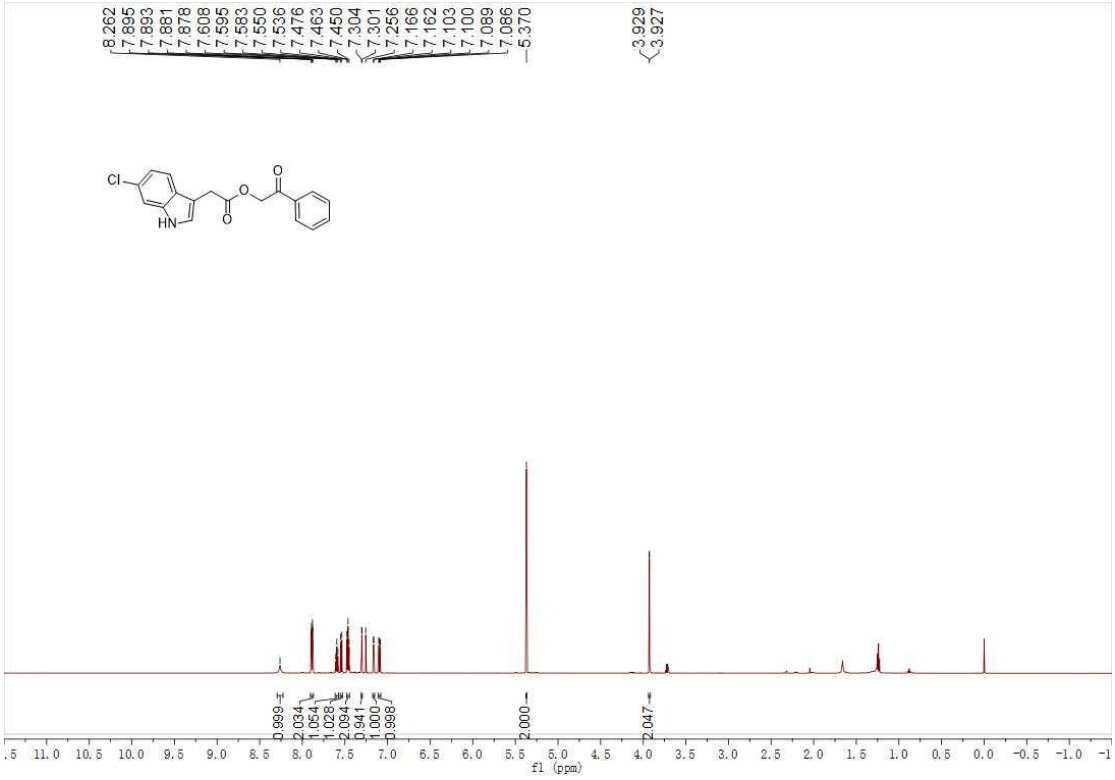
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **4**



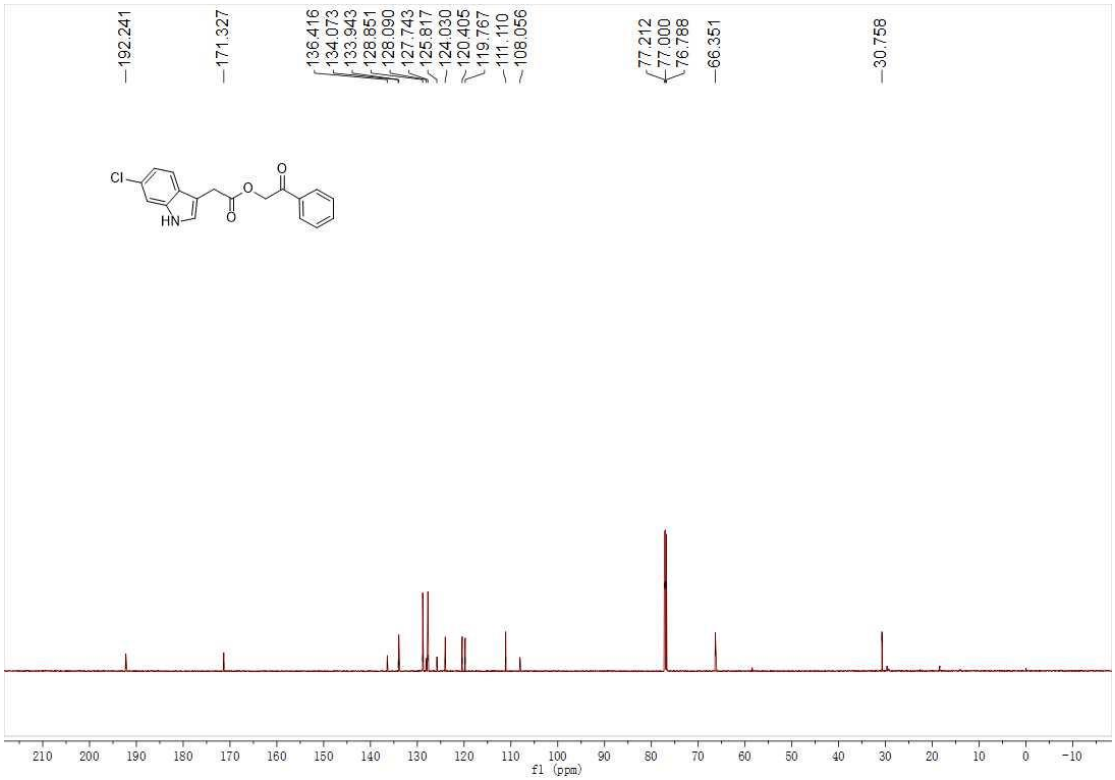
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **4**



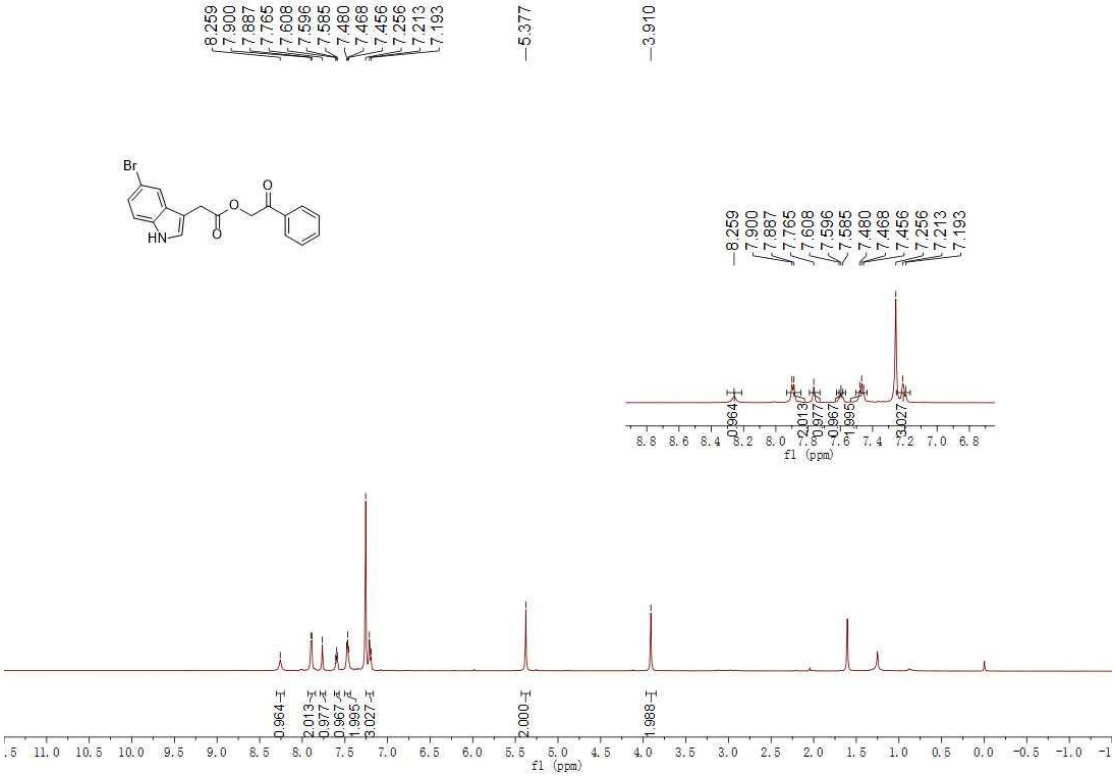
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **5**



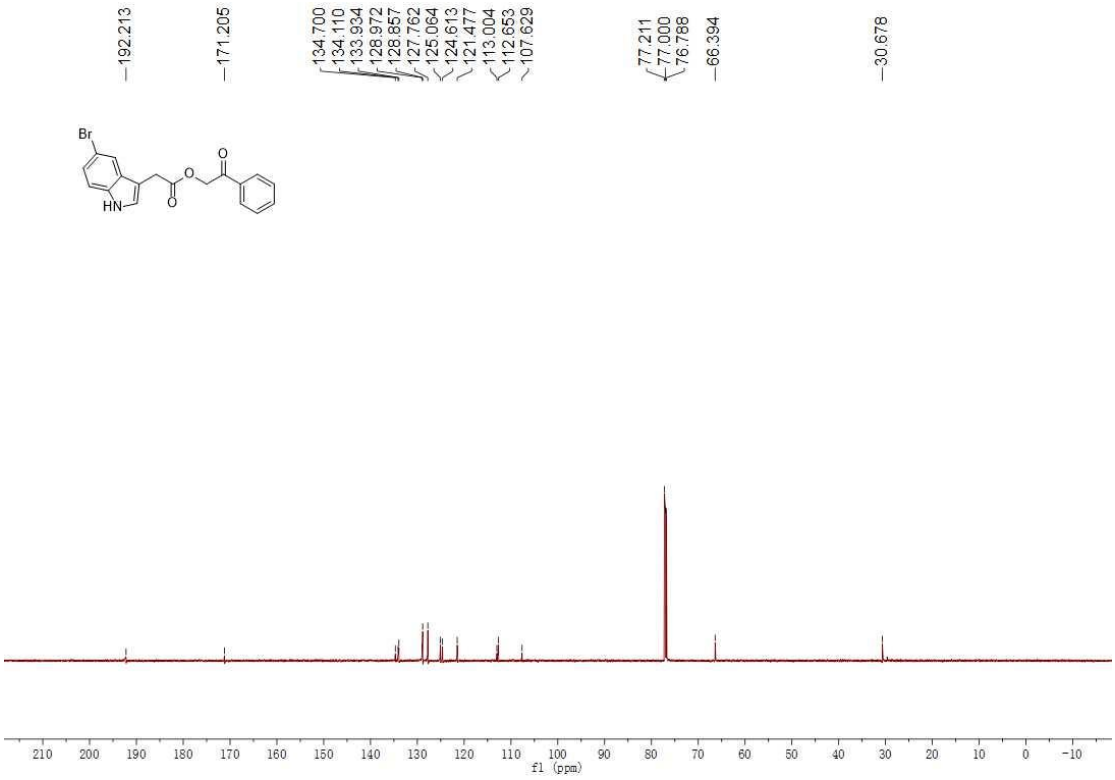
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **5**



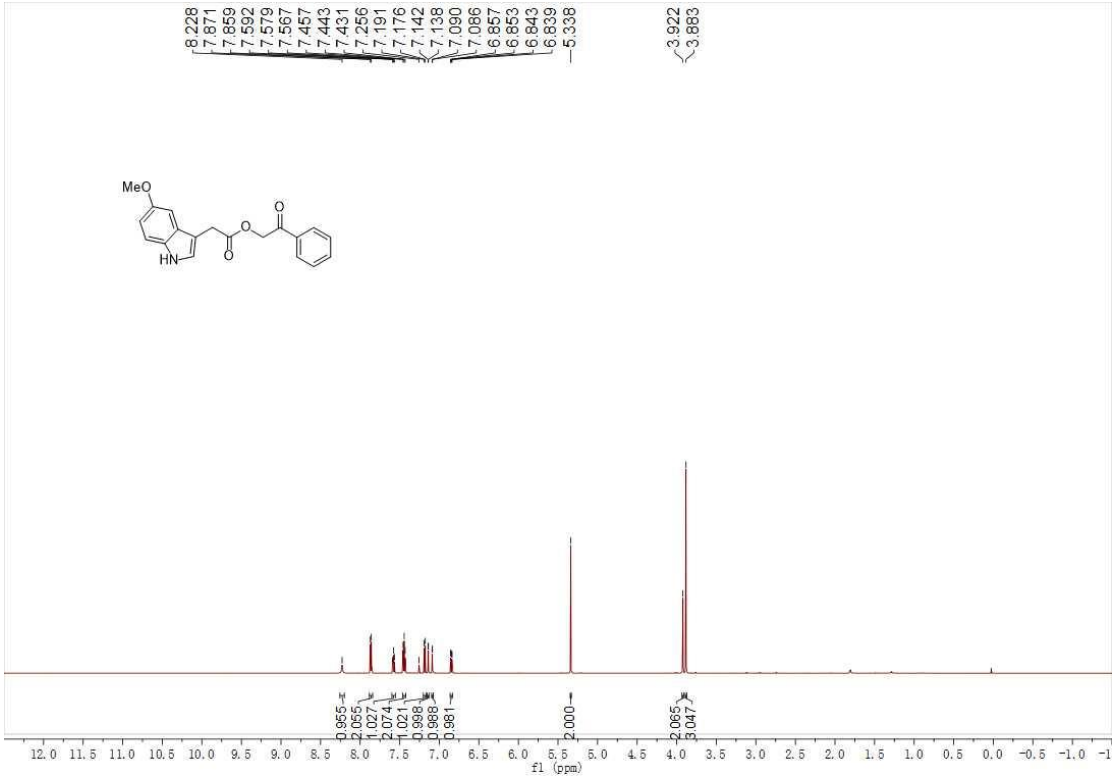
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **6**



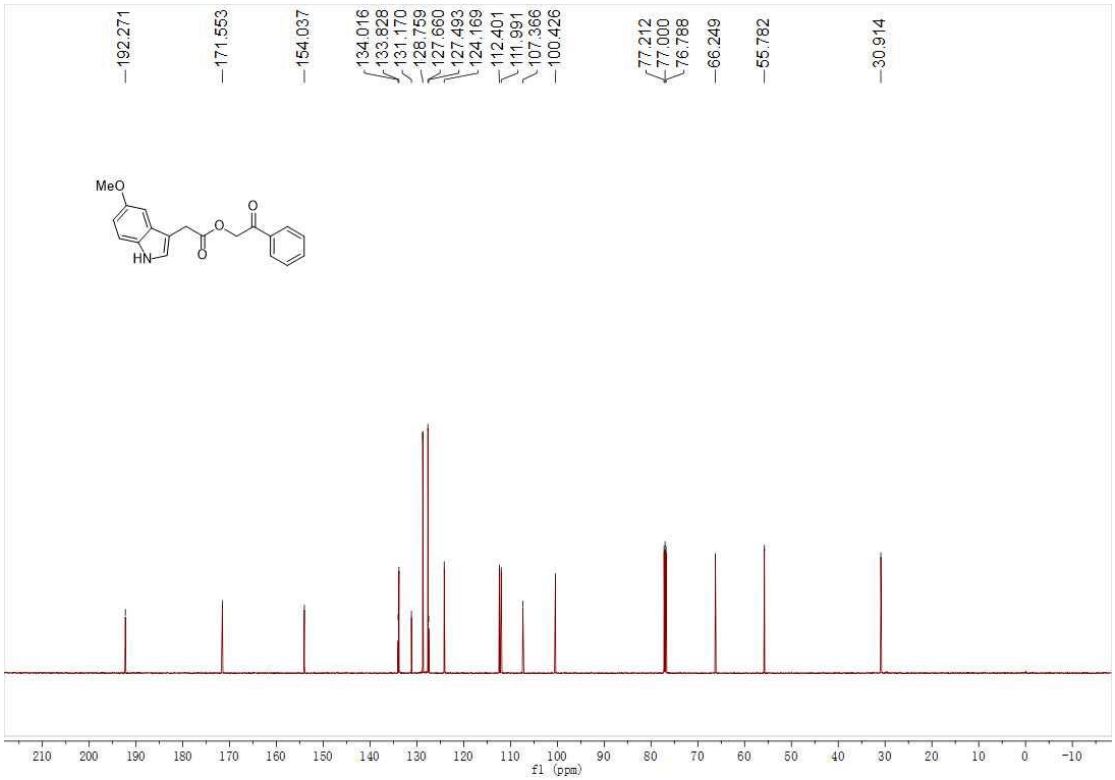
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **6**



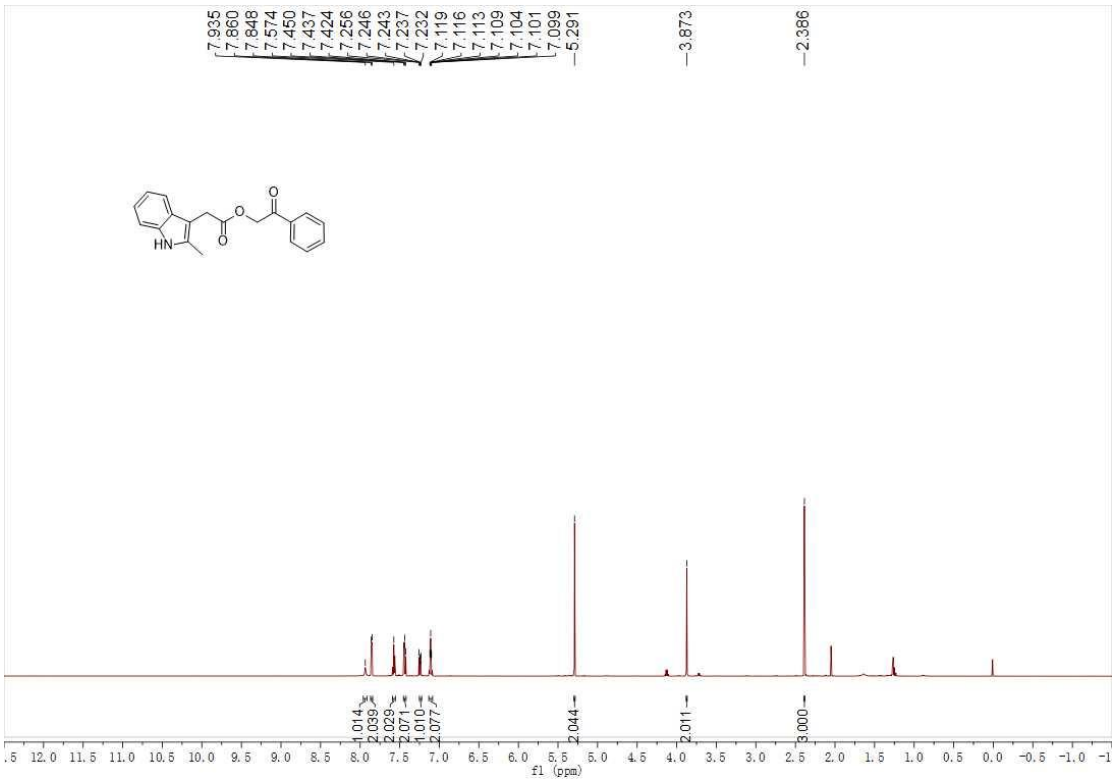
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **7**



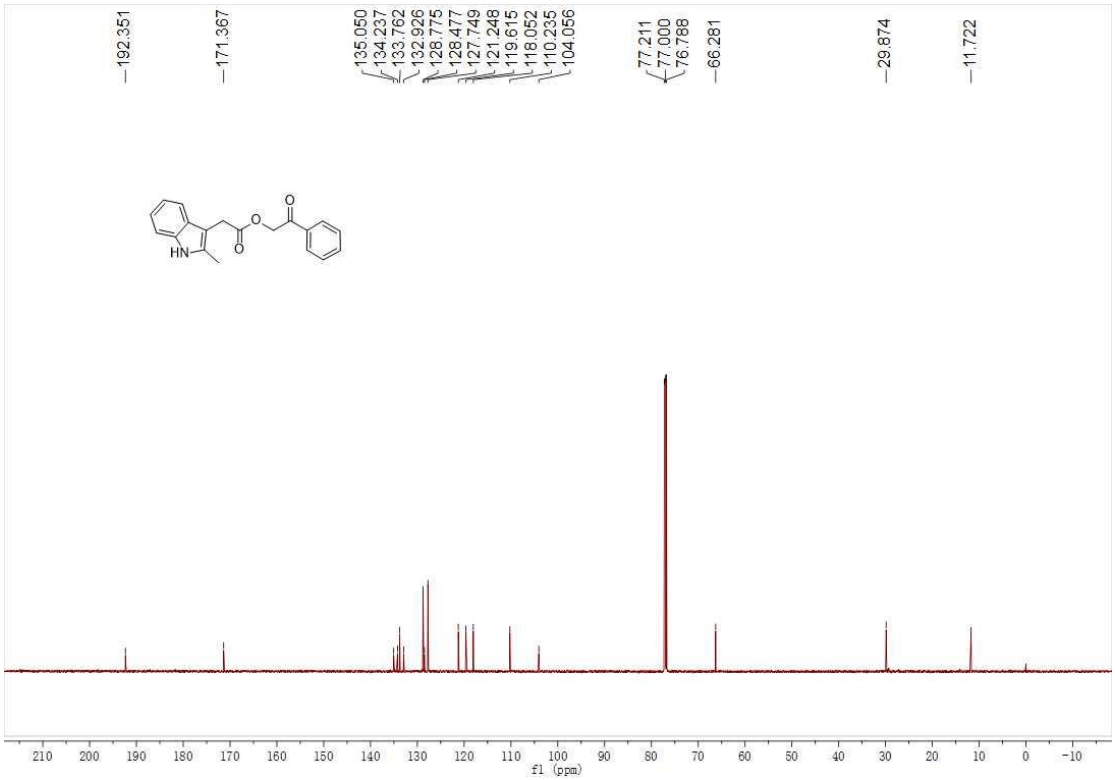
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **7**



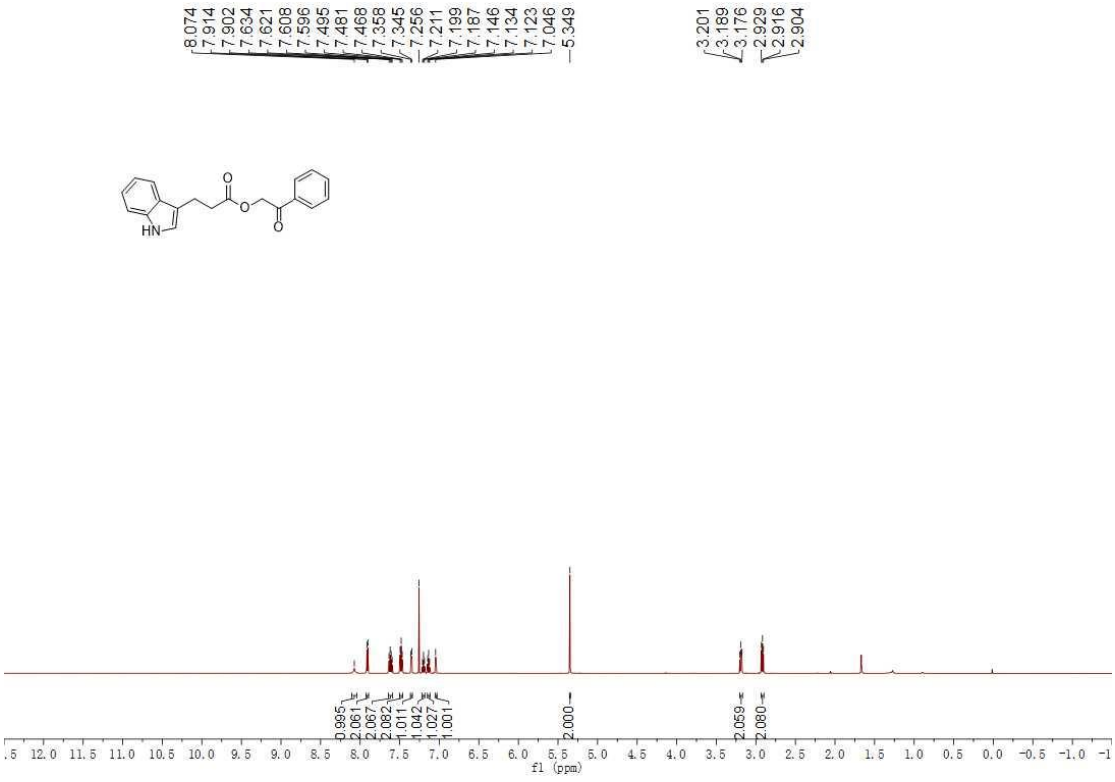
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **8**



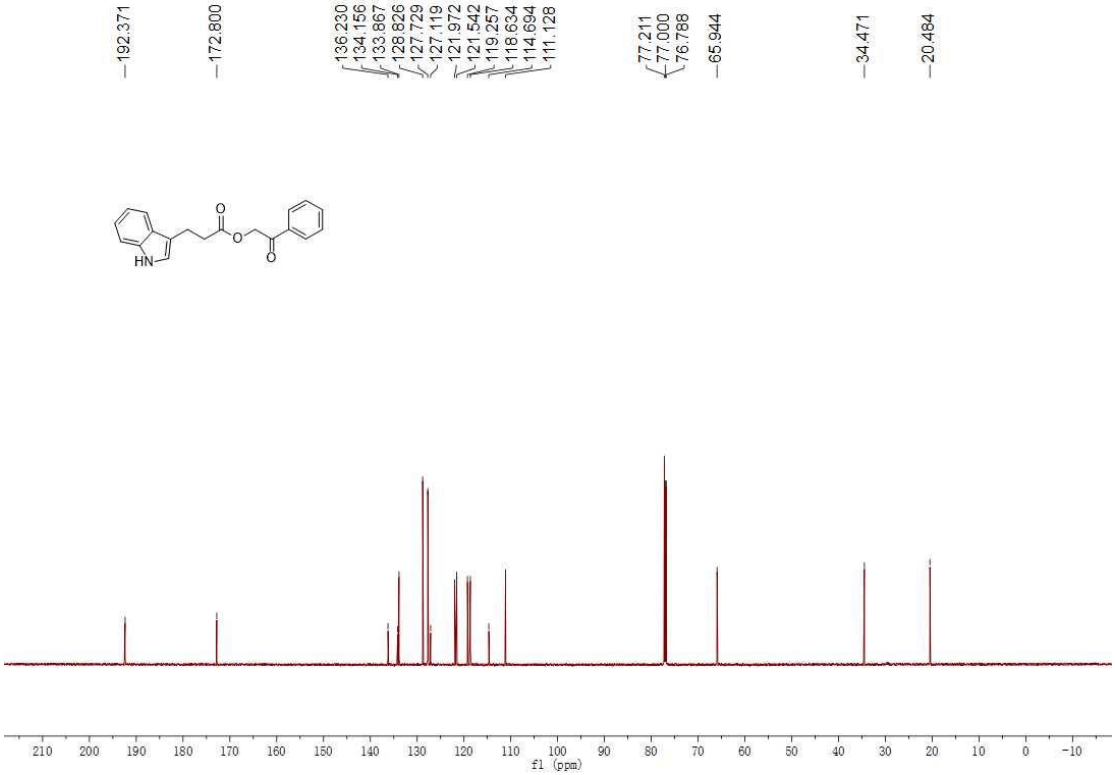
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **8**



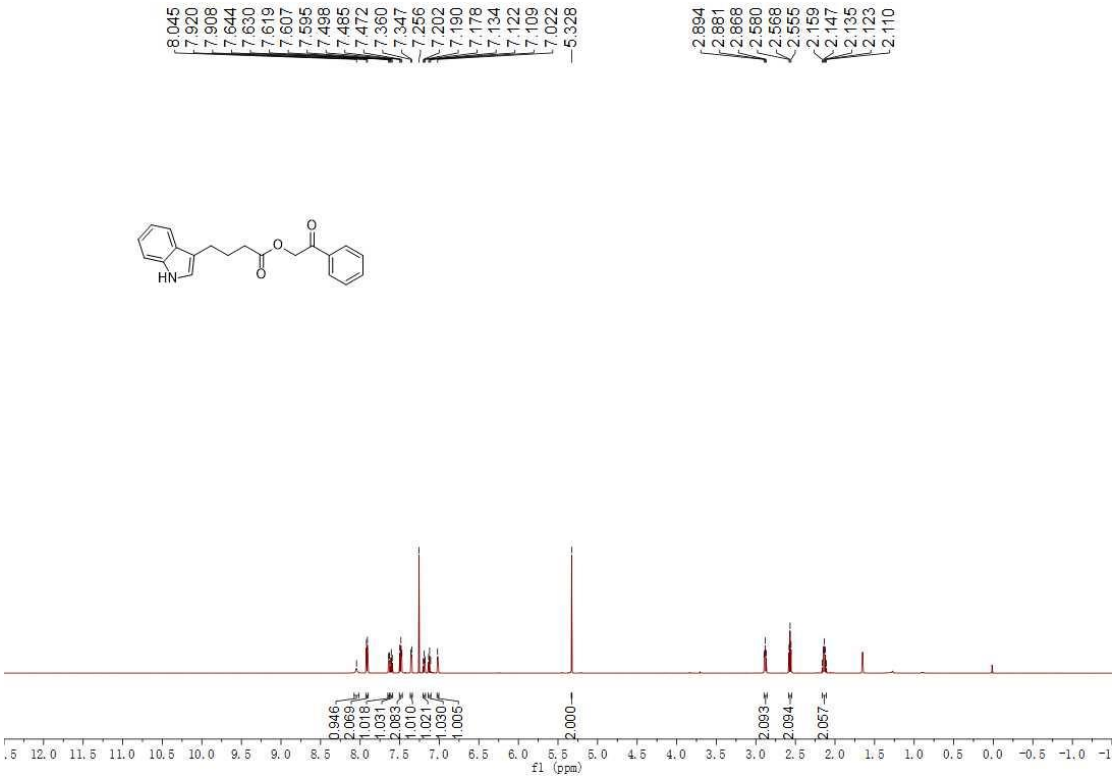
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **9**



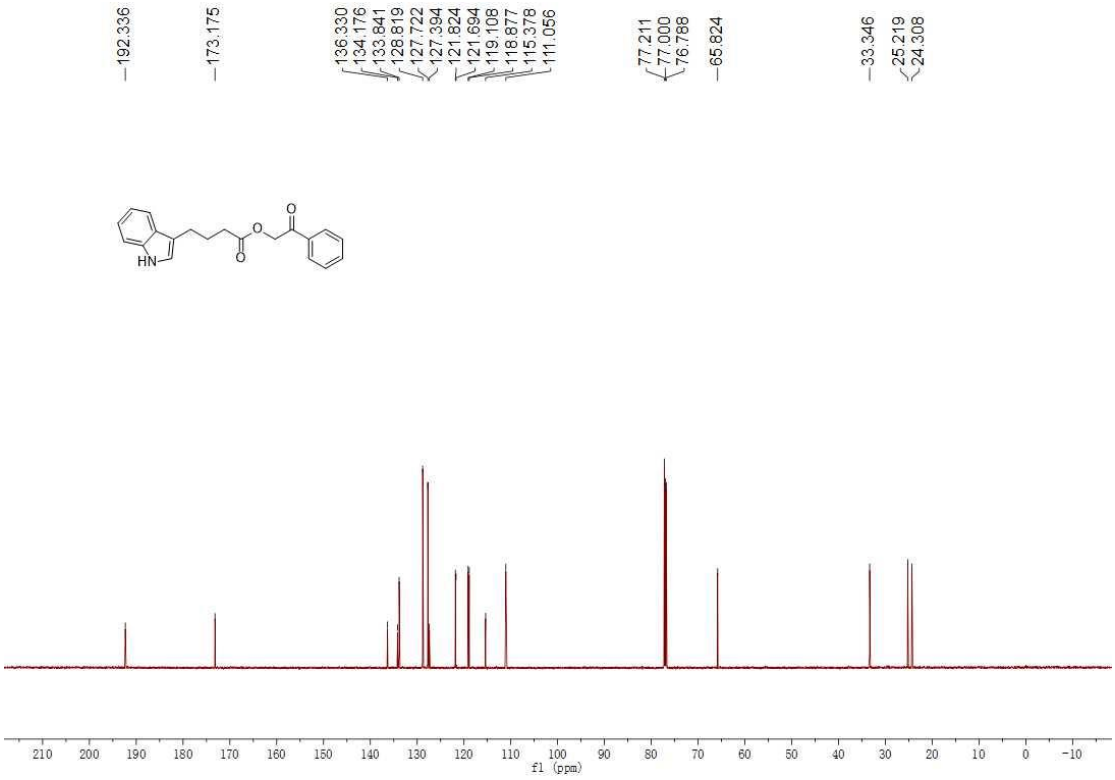
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **9**



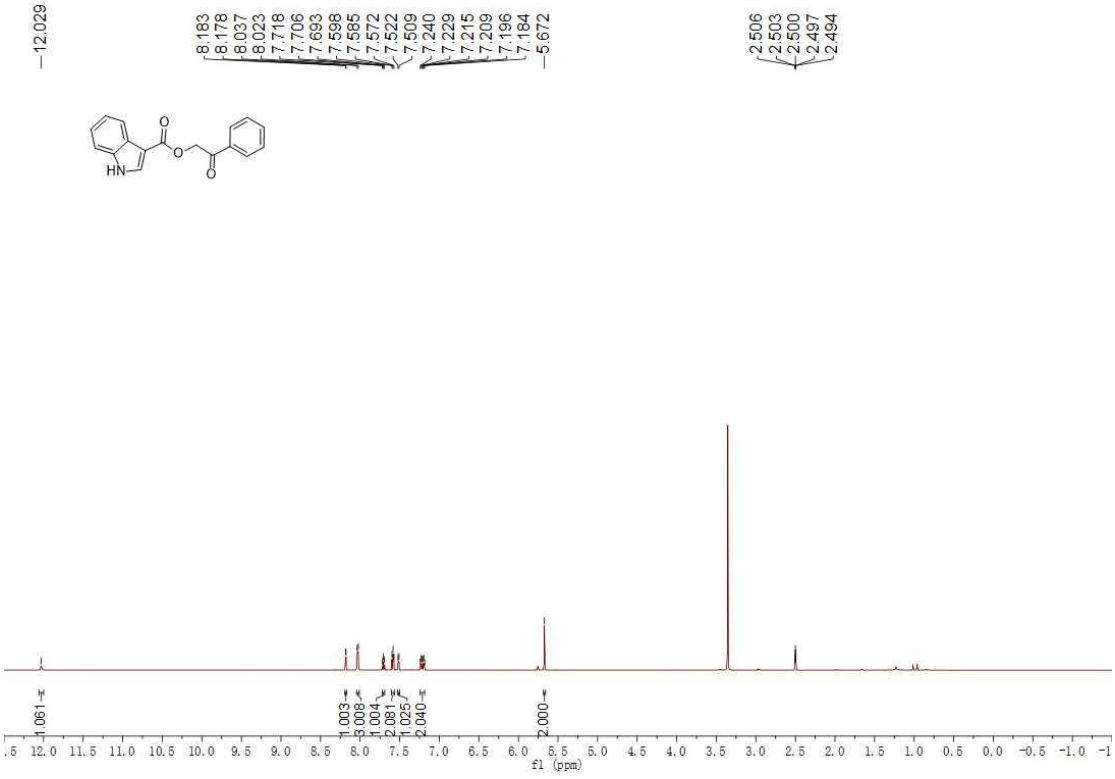
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **10**



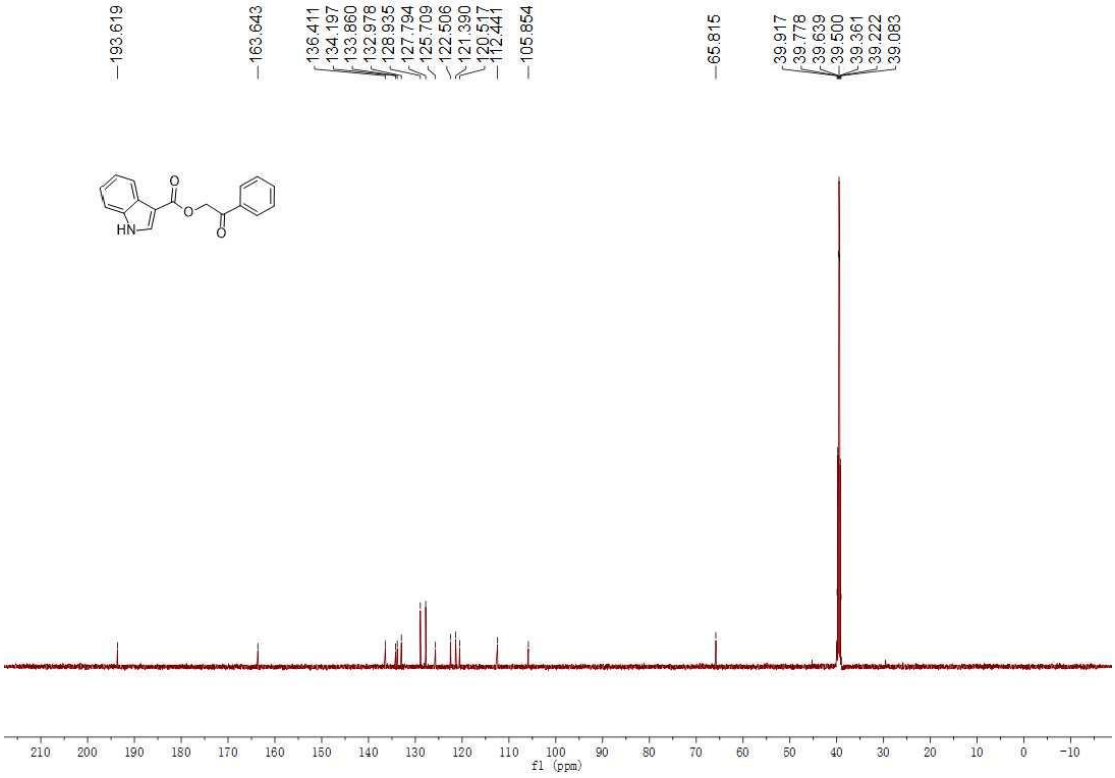
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **10**



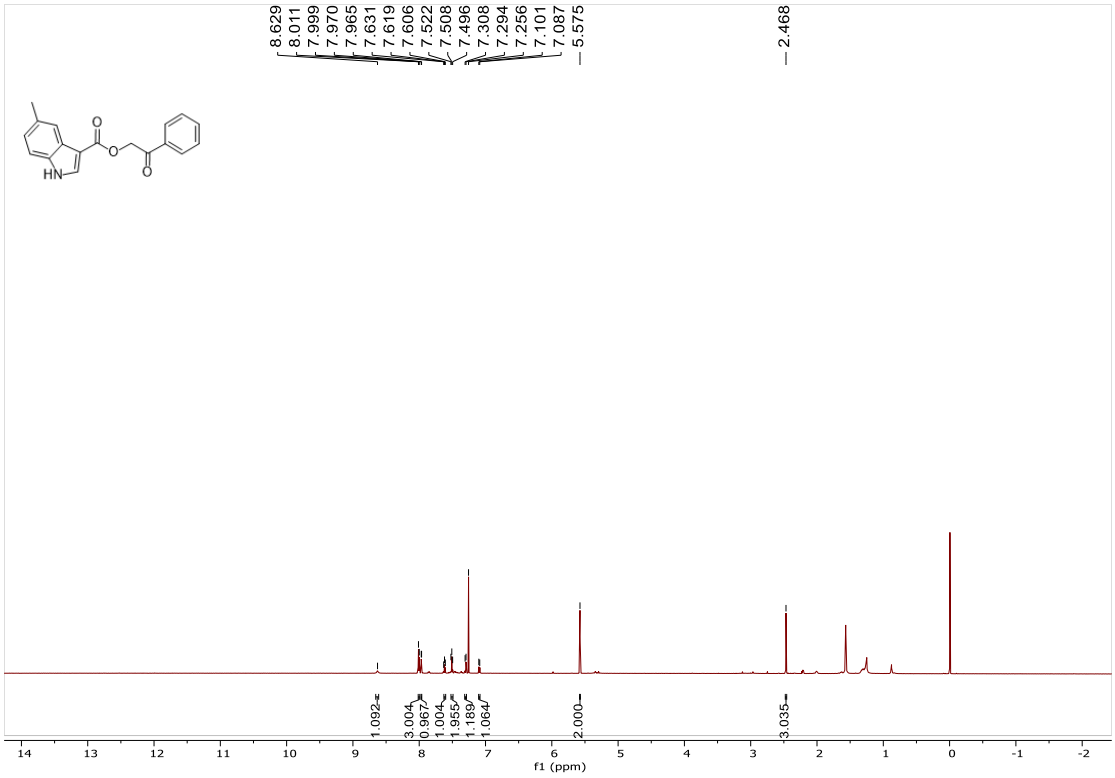
^{1}H NMR (600 MHz, DMSO-d_6) Spectrum of **11**



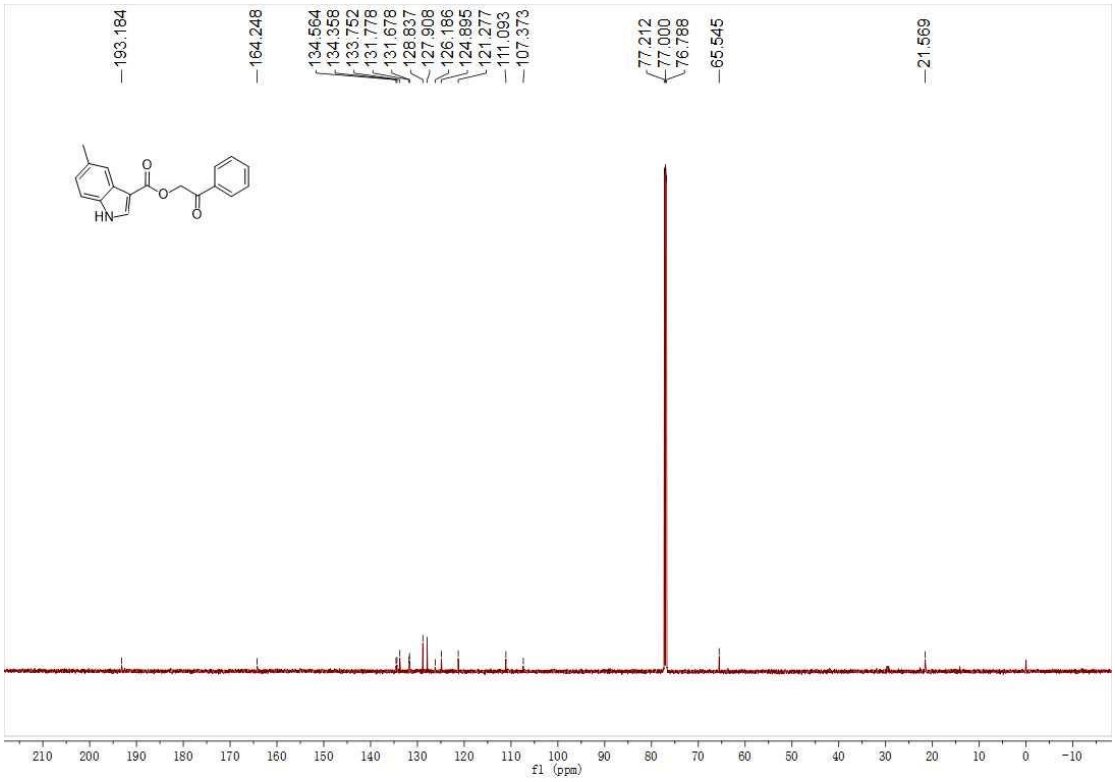
^{13}C NMR (150 MHz, DMSO-d_6) Spectrum of **11**



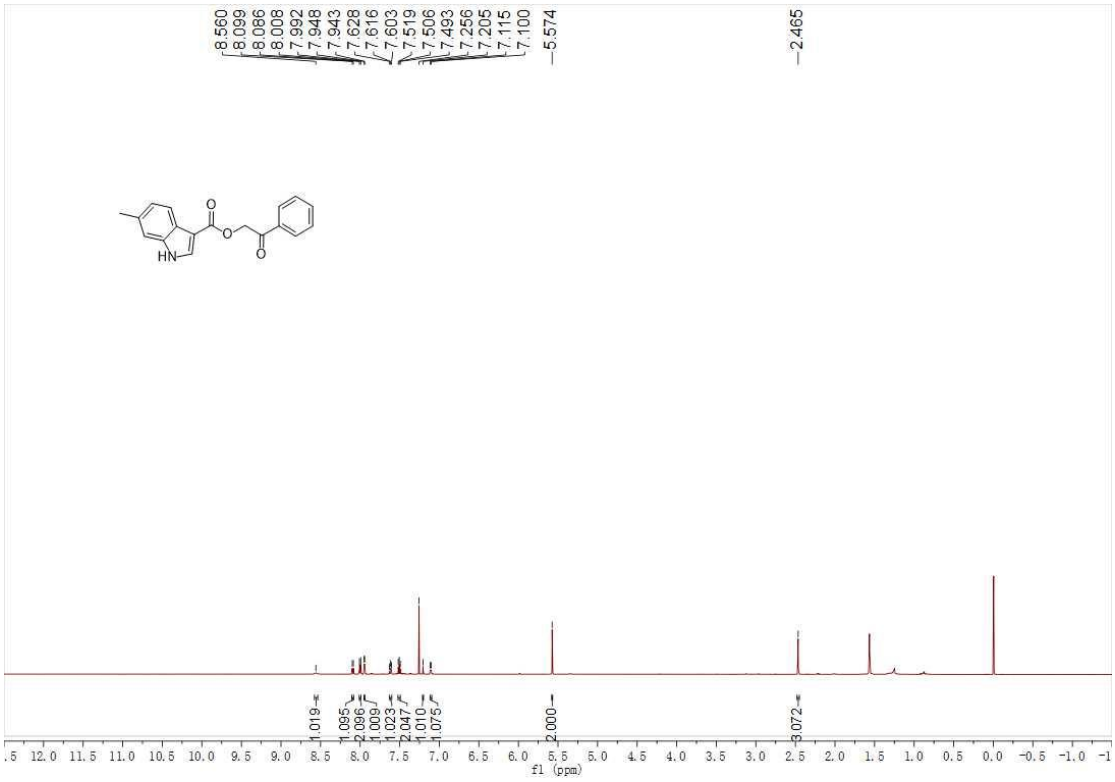
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **12**



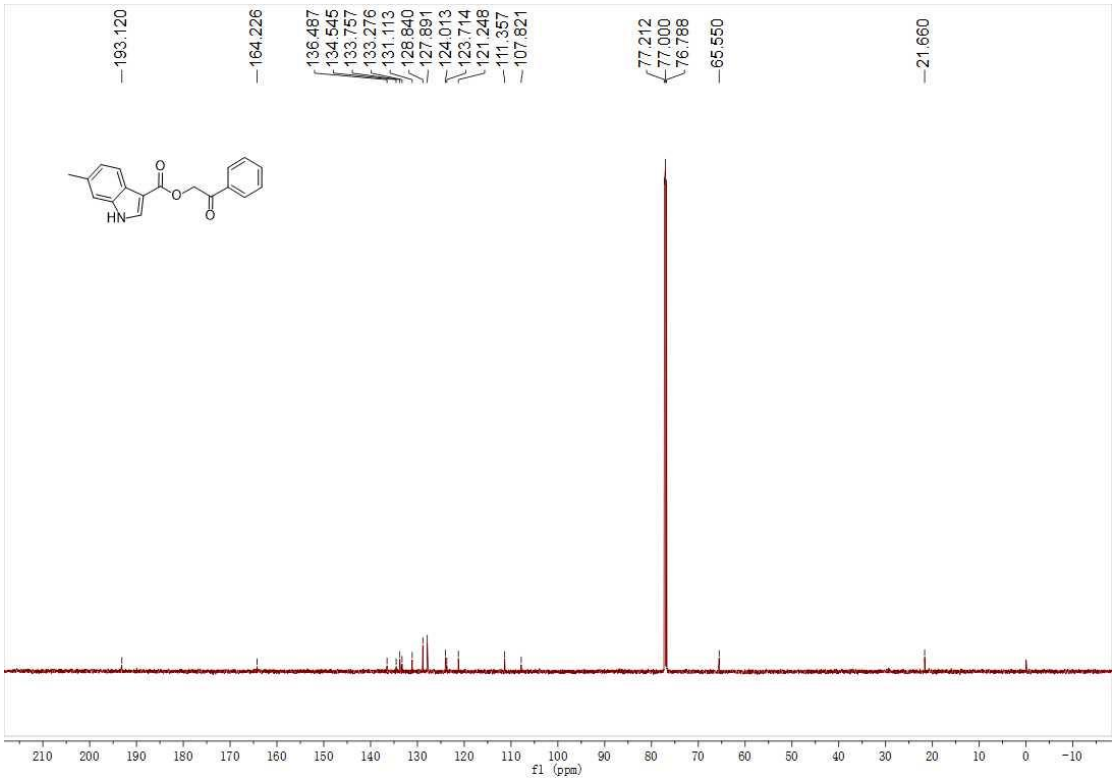
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **12**



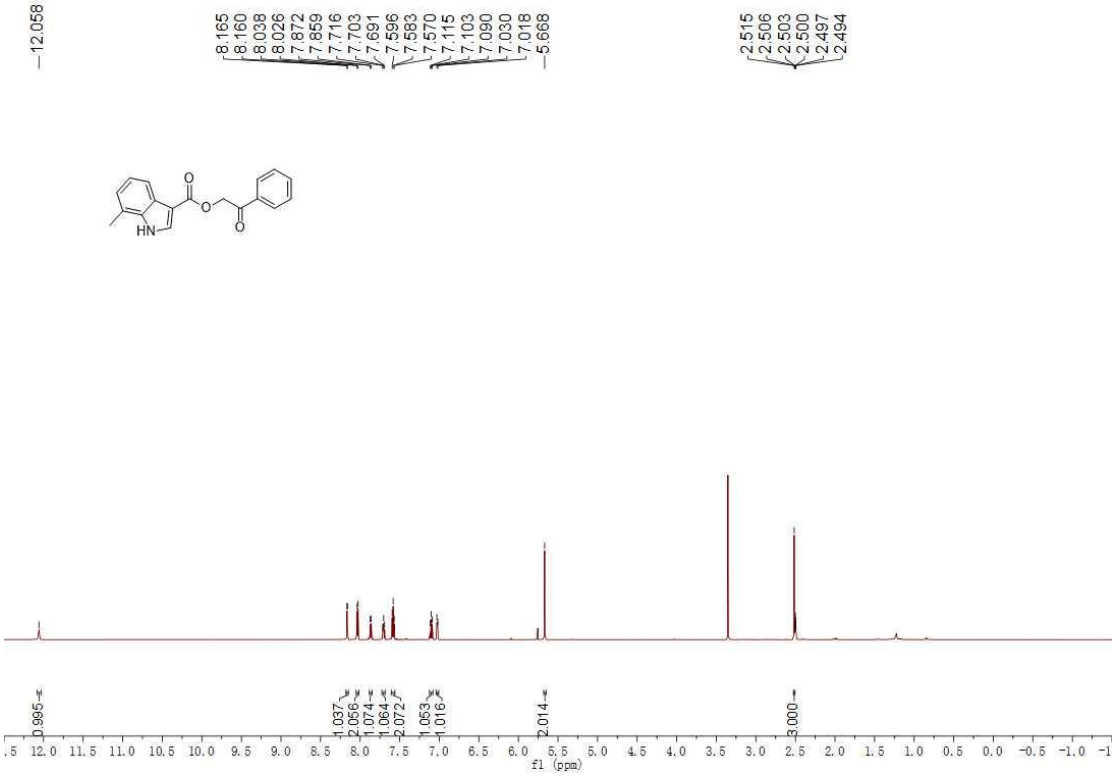
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **13**



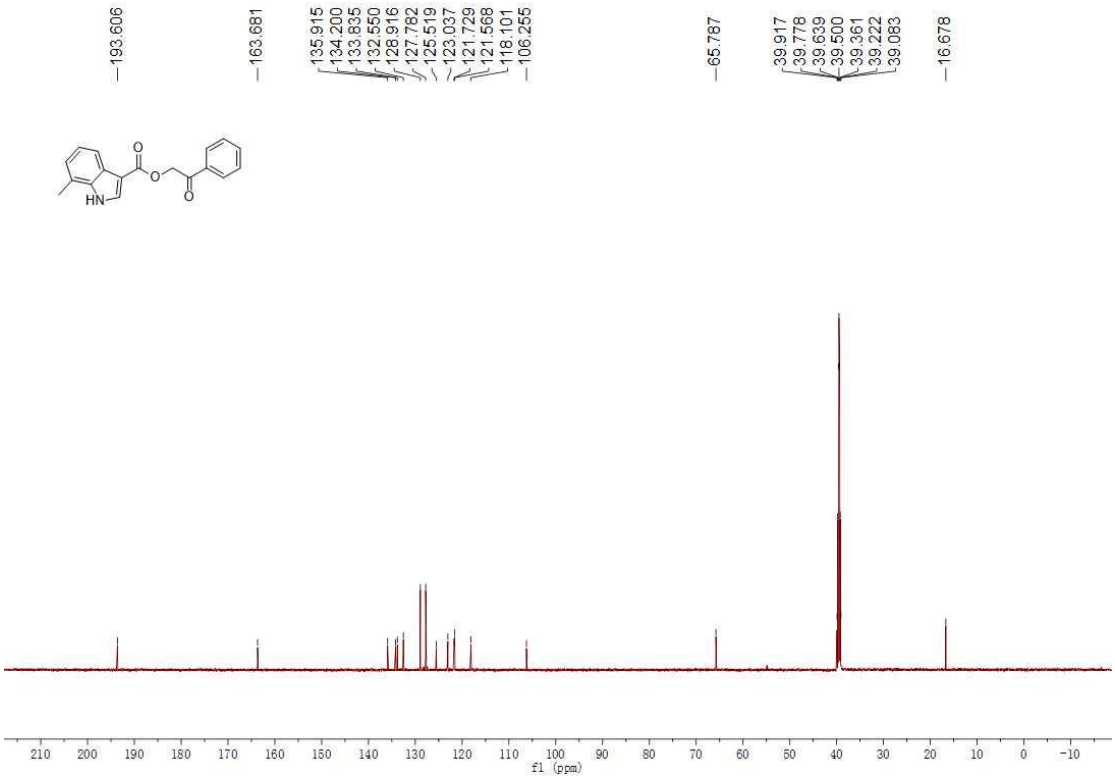
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **13**



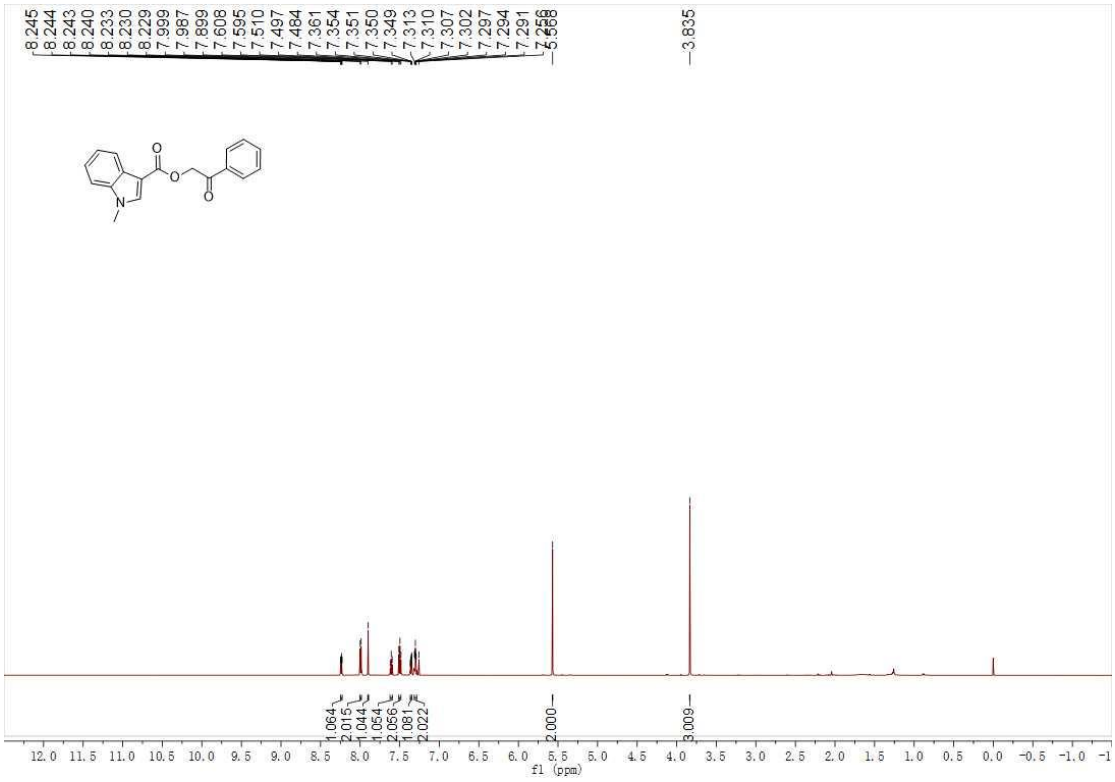
^{1}H NMR (600 MHz, DMSO-*d*$_6$) Spectrum of **14**



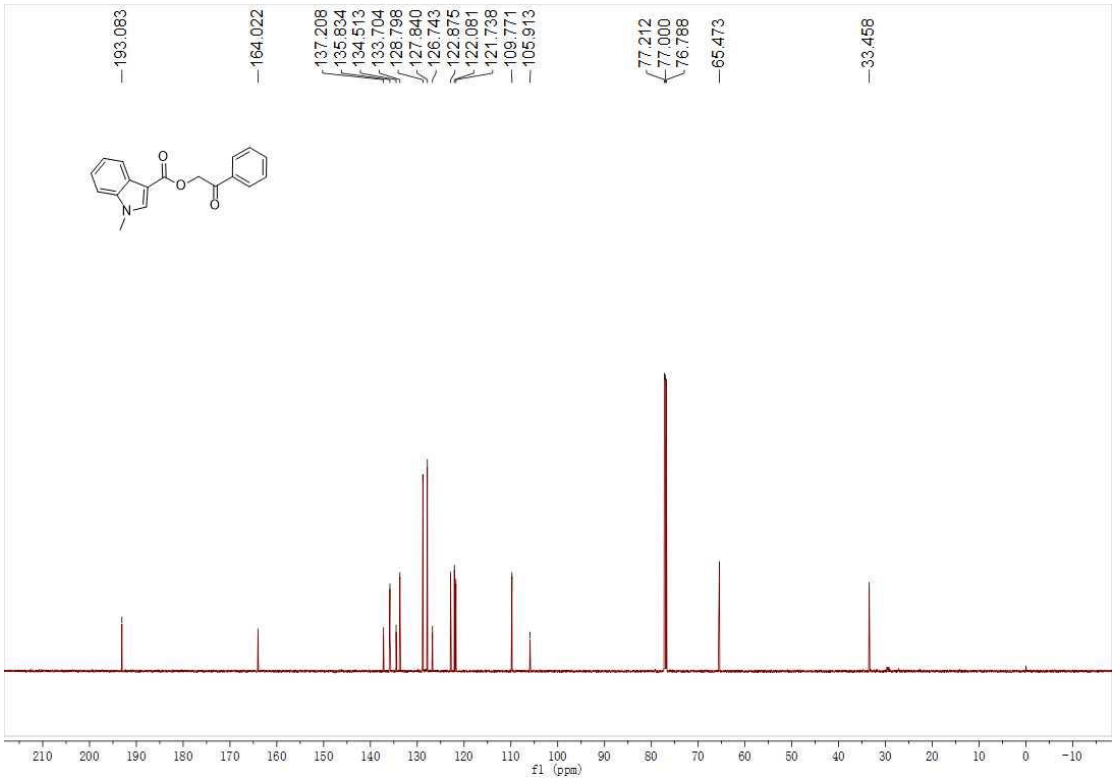
^{13}C NMR (150 MHz, DMSO-d_6) Spectrum of **14**



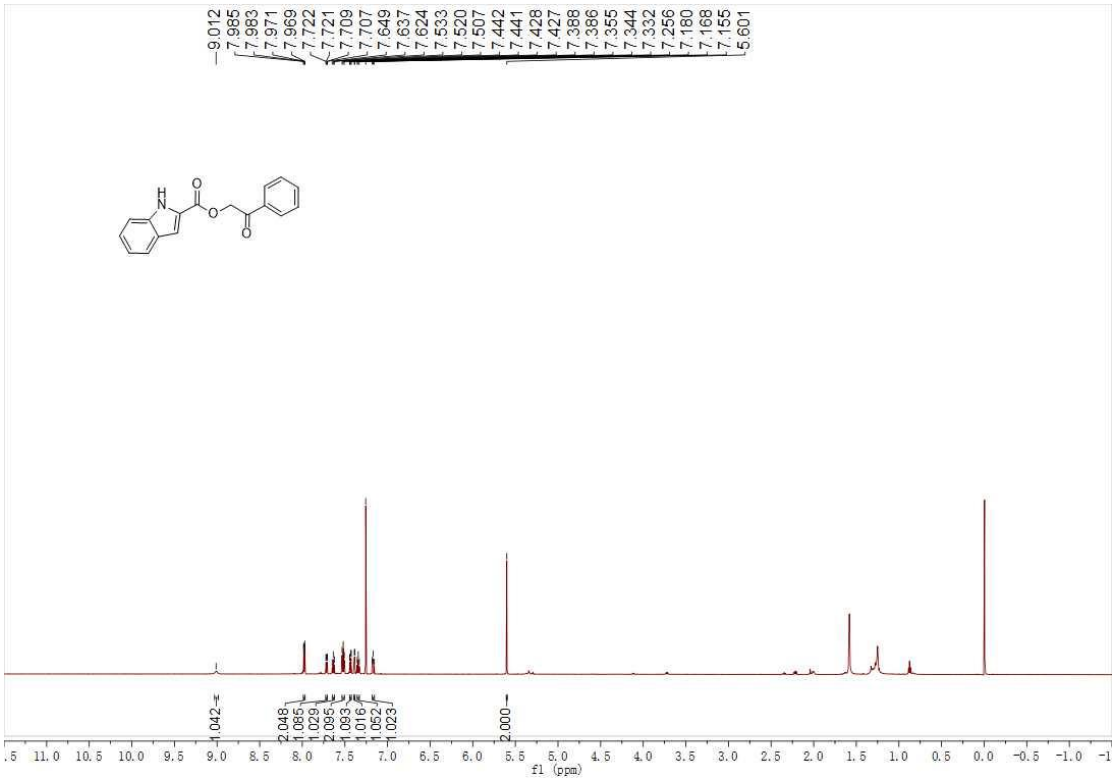
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **15**



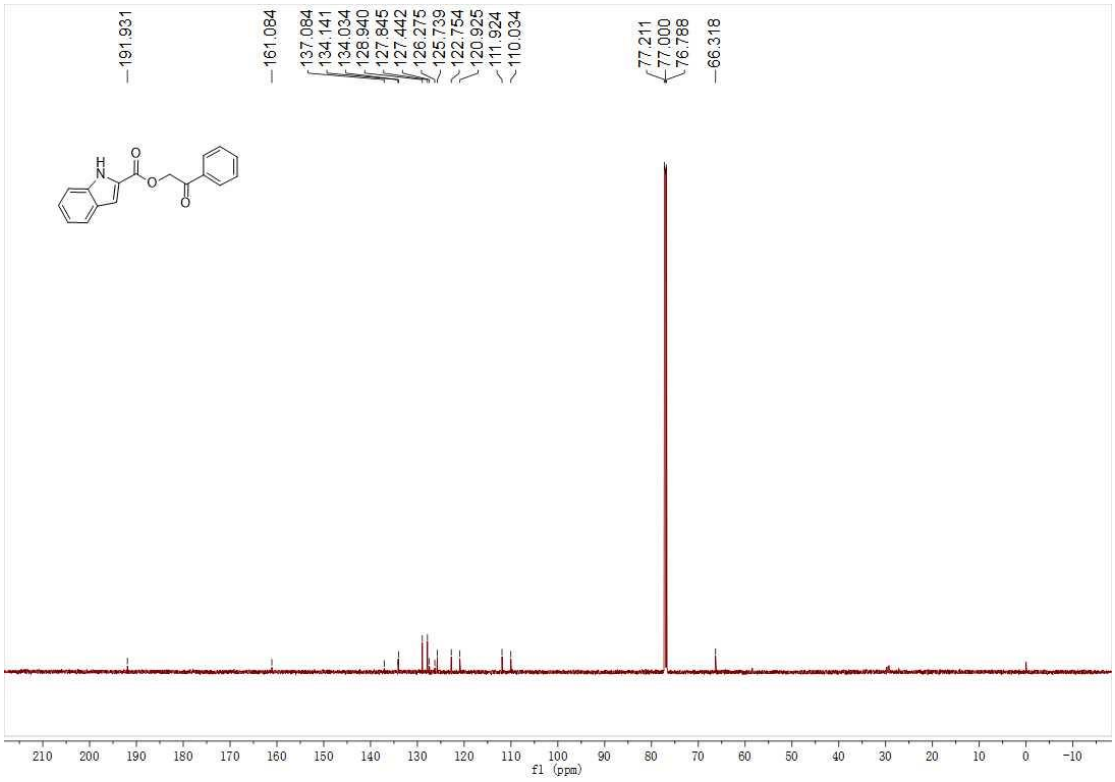
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **15**



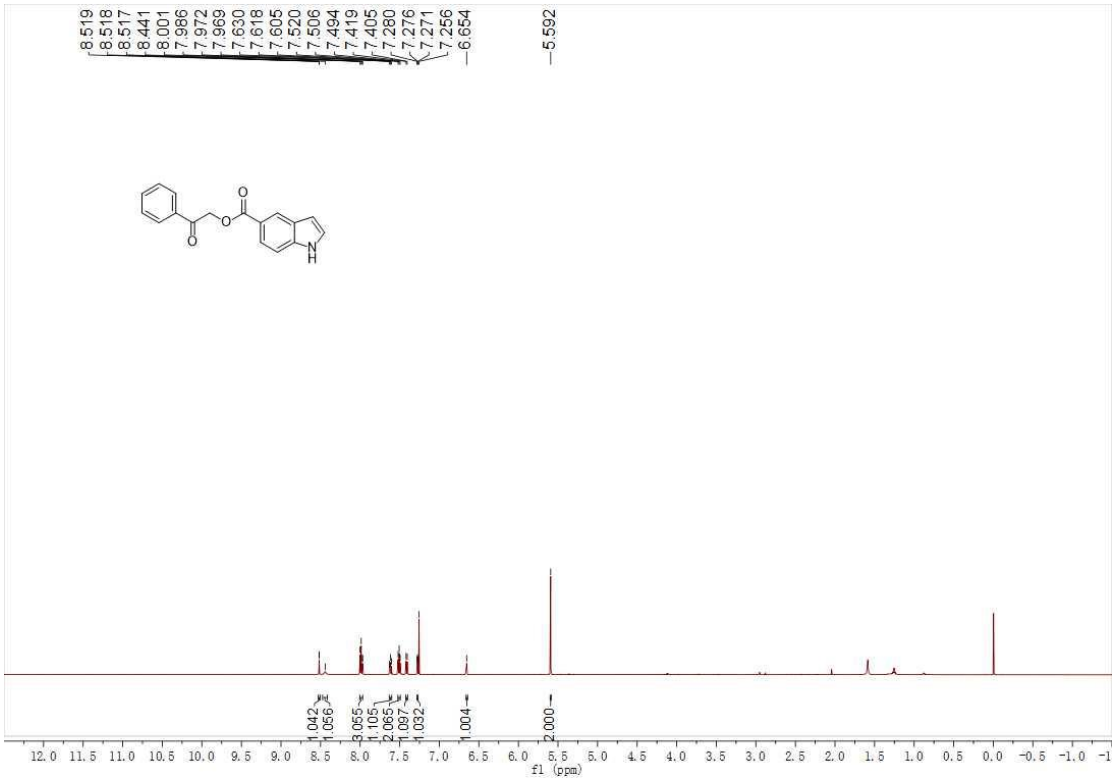
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **16**



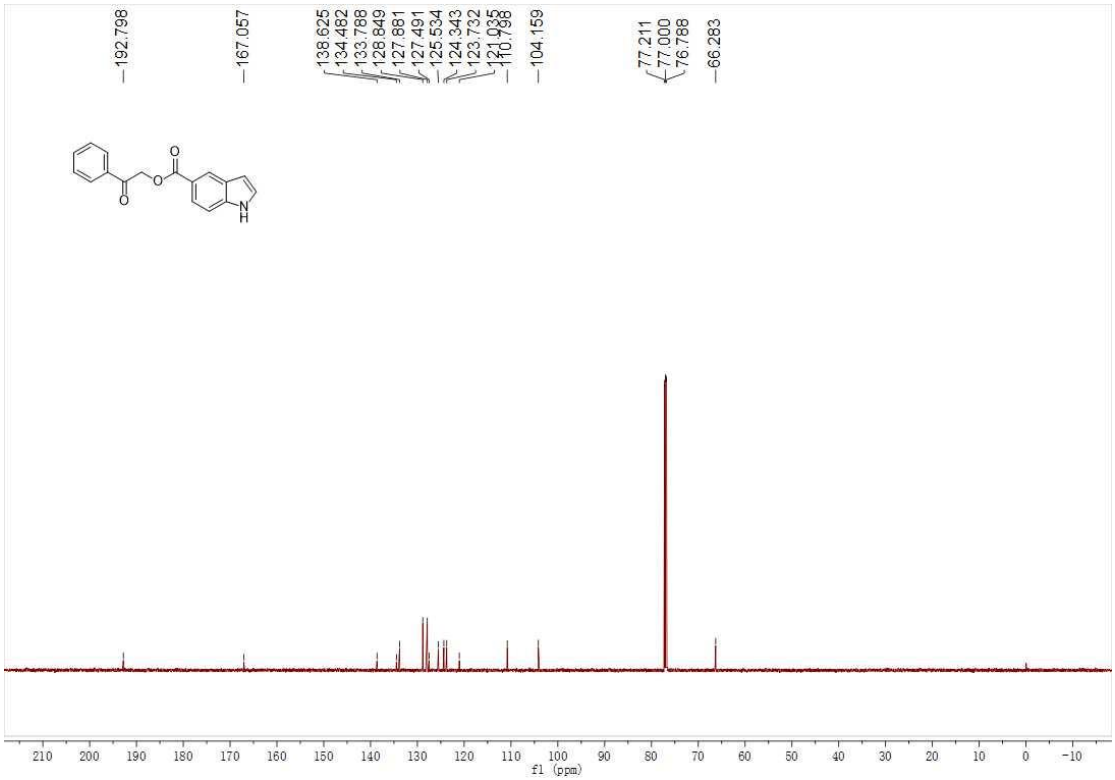
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **16**



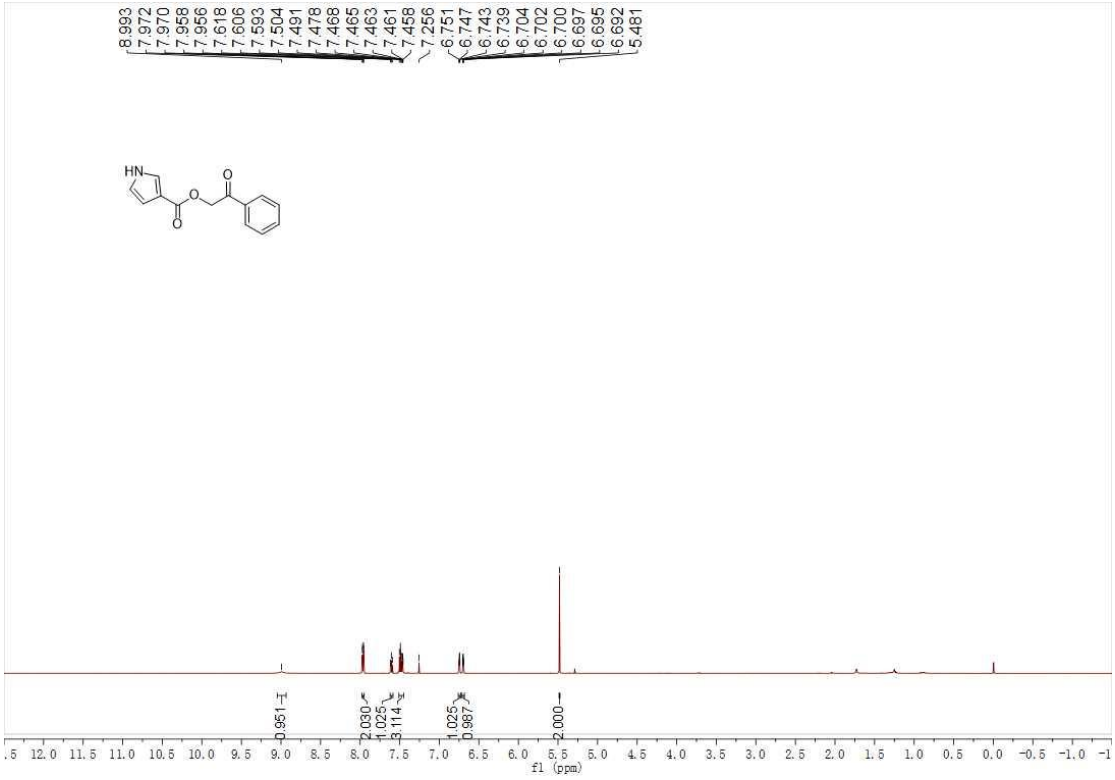
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **17**



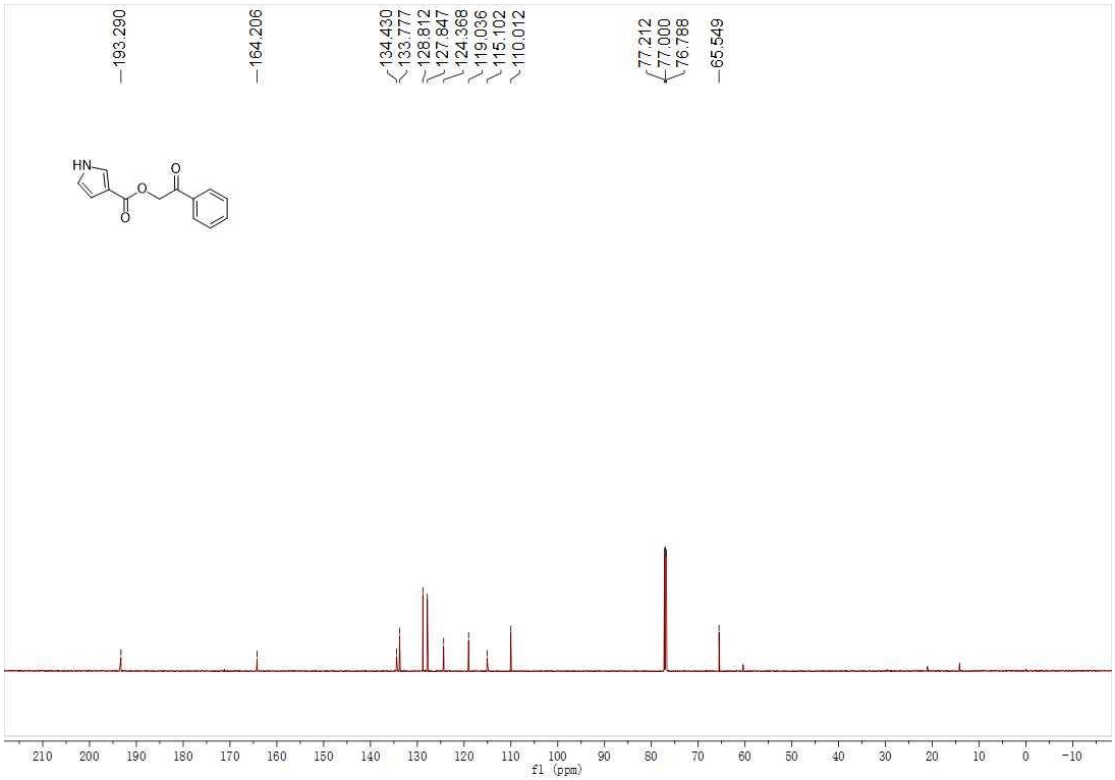
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **17**



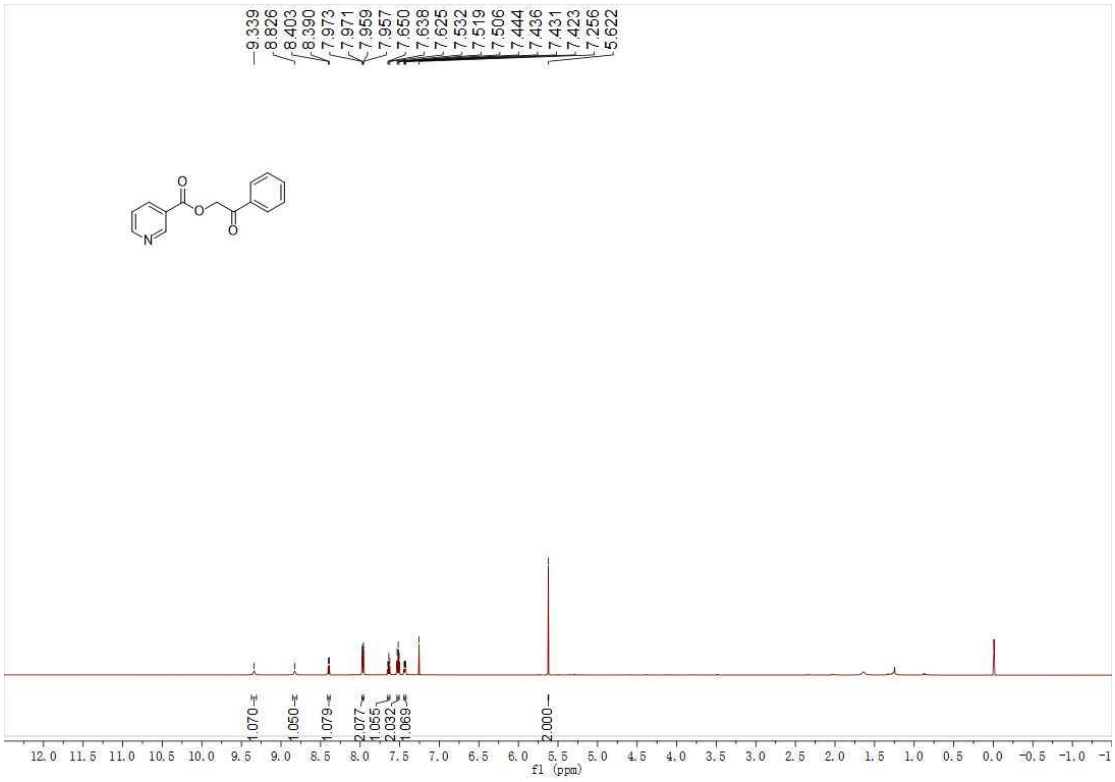
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **18**



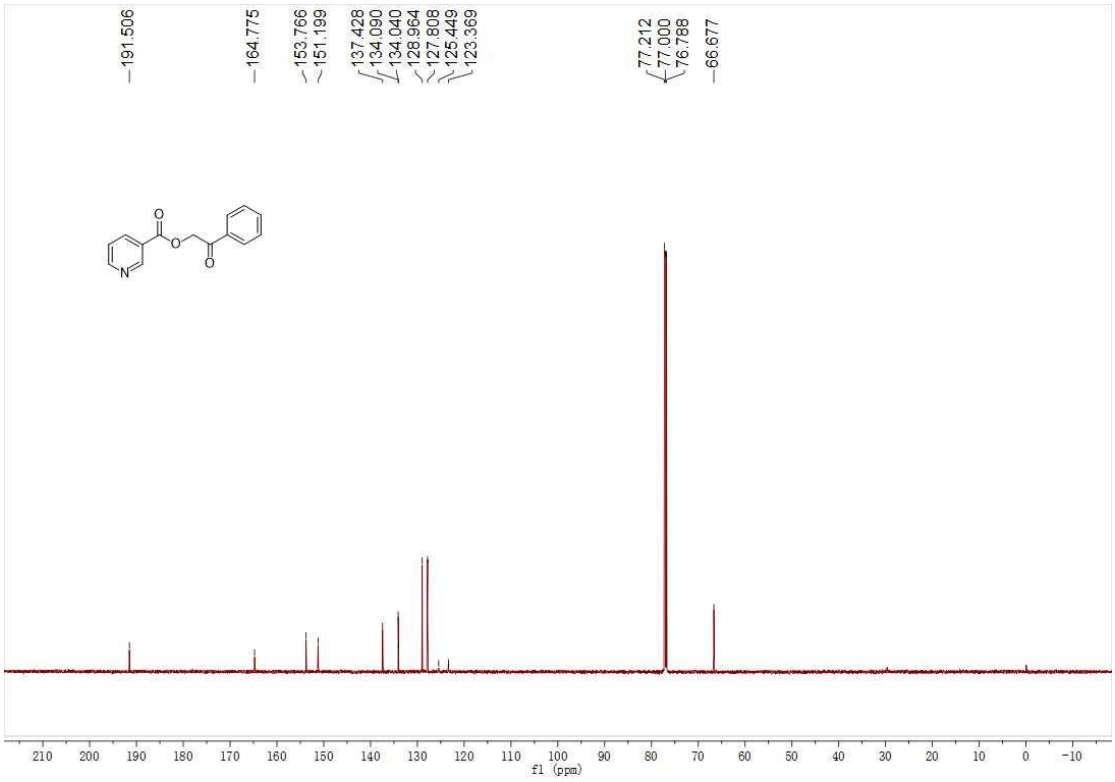
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **18**



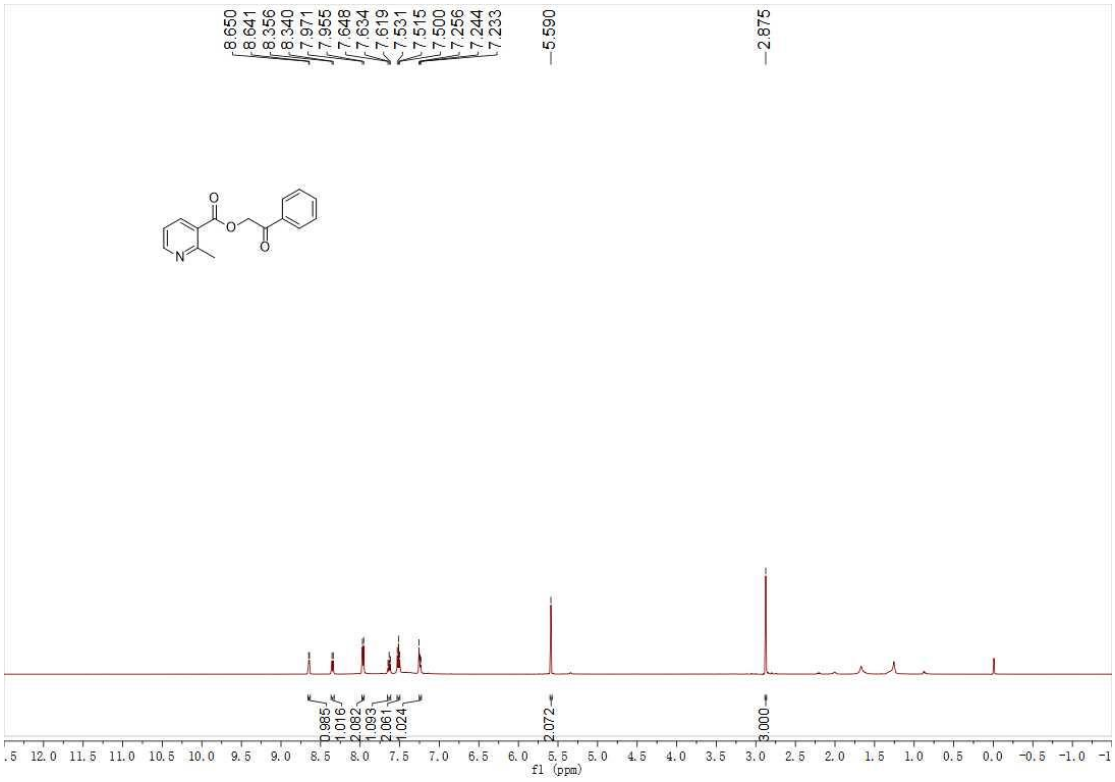
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **19**



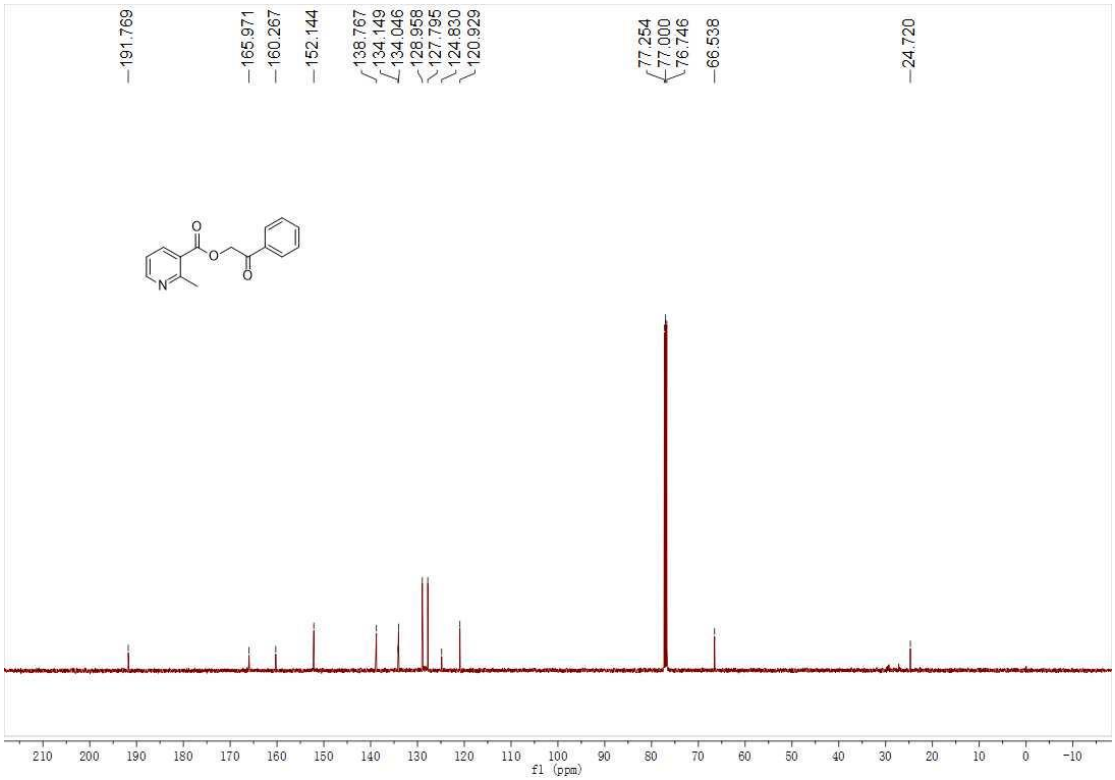
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **19**



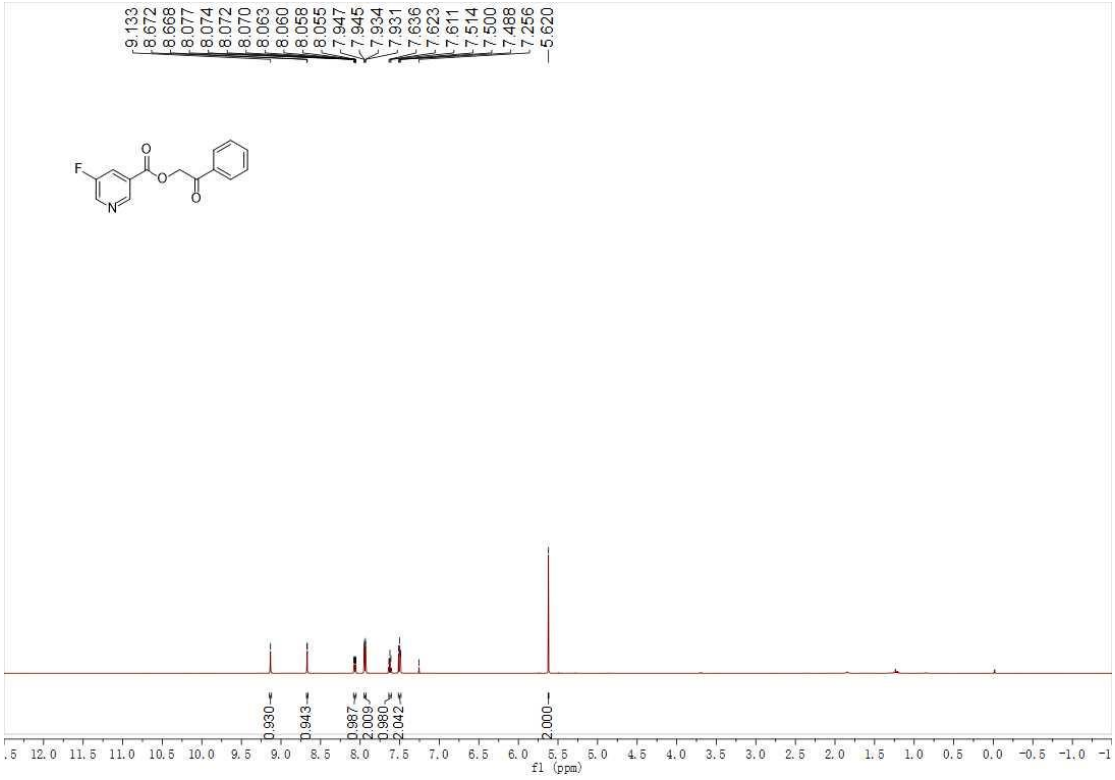
^{1}H NMR (500 MHz, $CDCl_3$) Spectrum of **20**



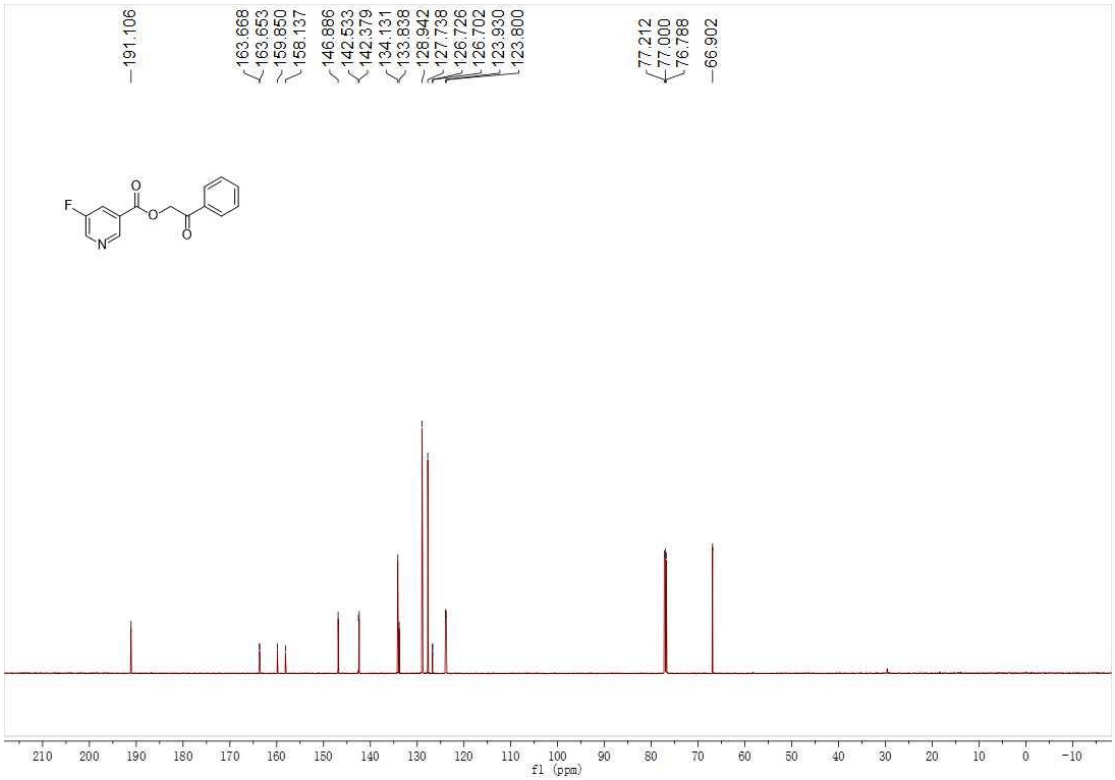
^{13}C NMR (125 MHz, $CDCl_3$) Spectrum of **20**



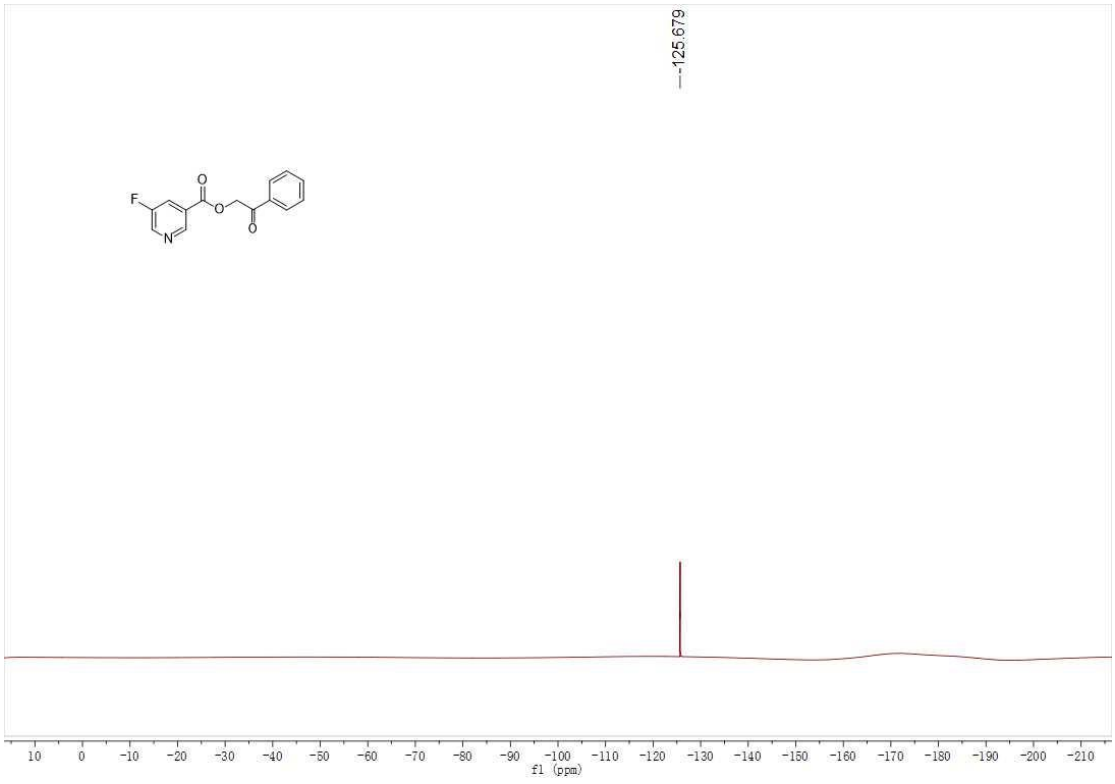
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **21**



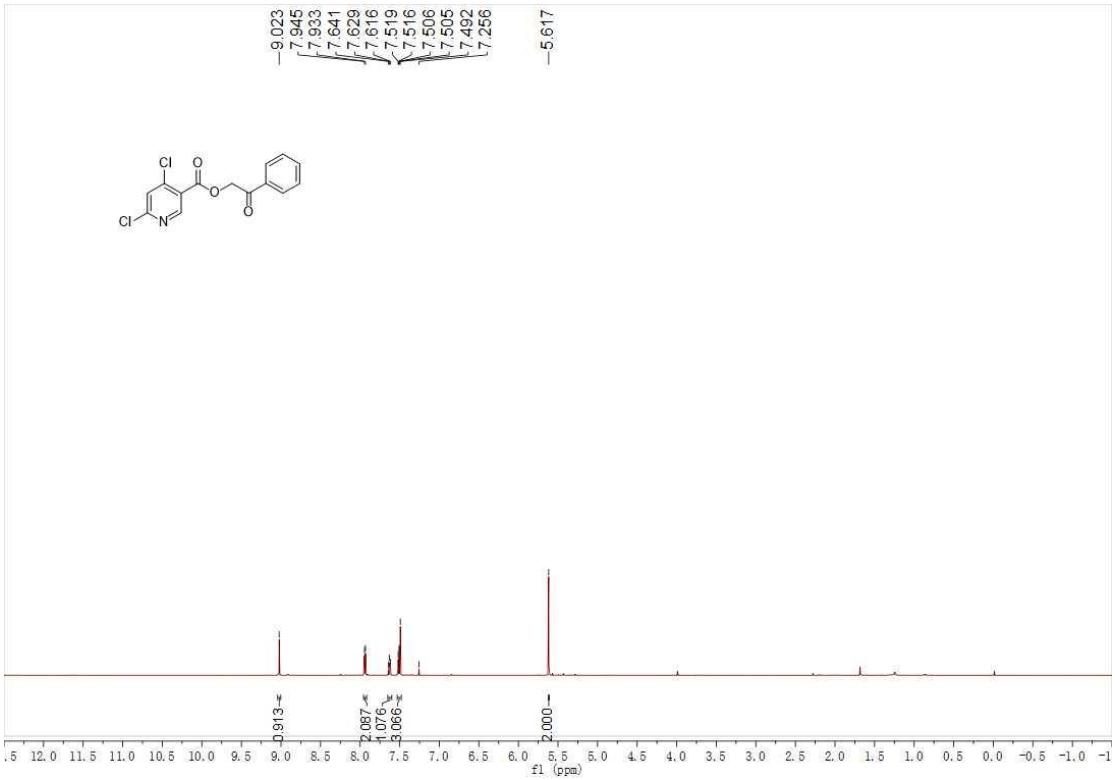
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **21**



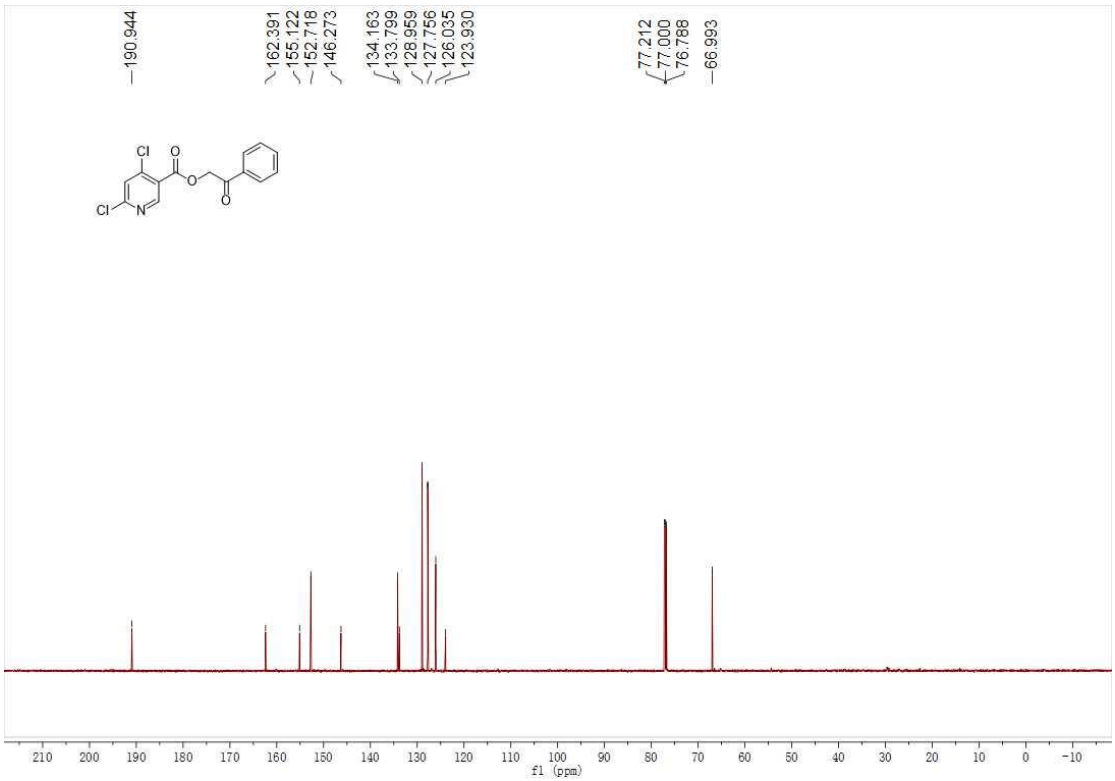
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **21**



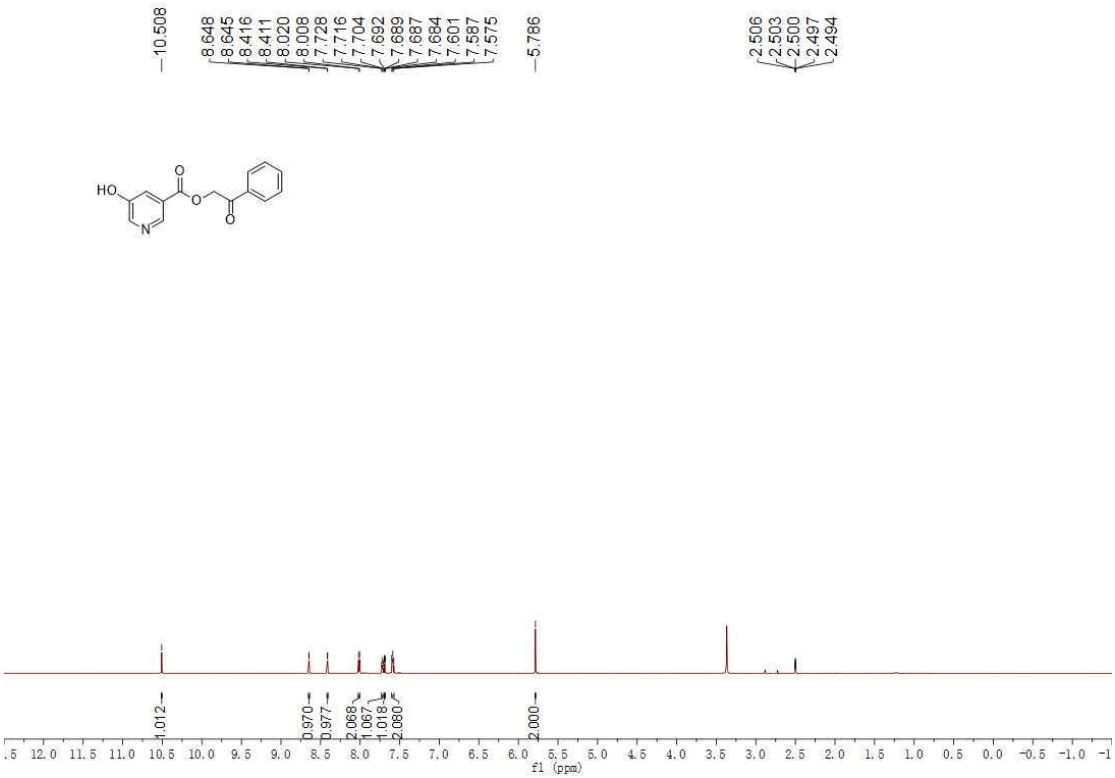
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **22**



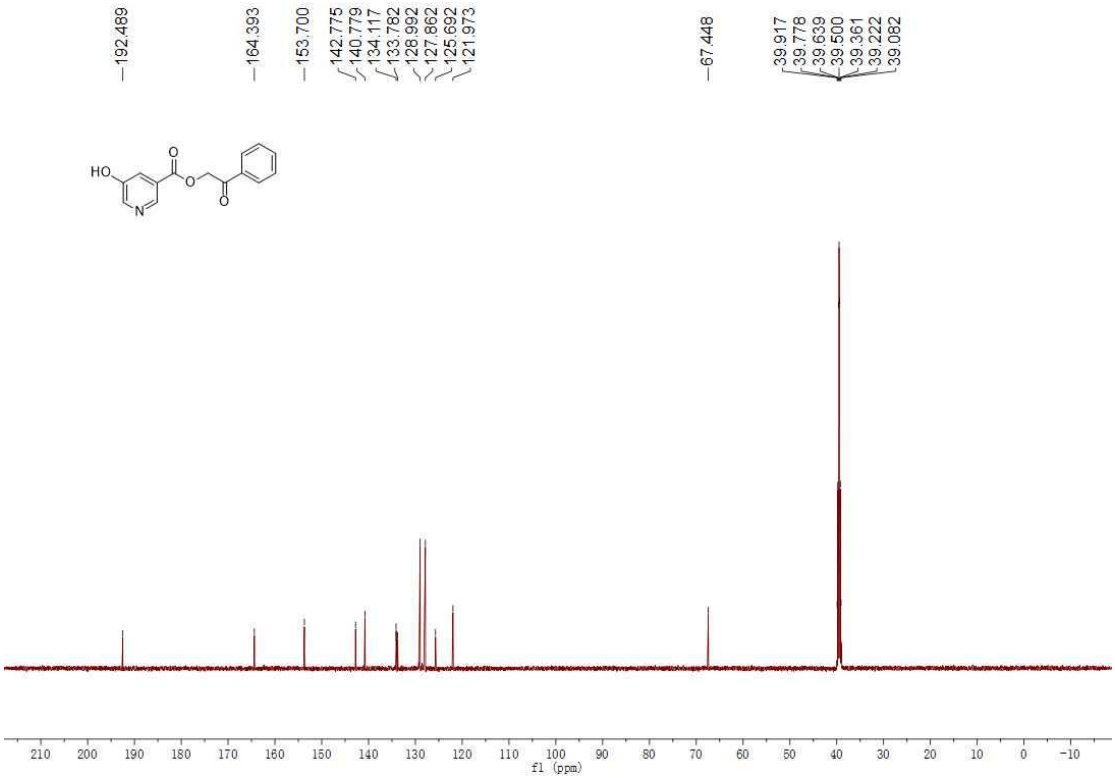
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **22**



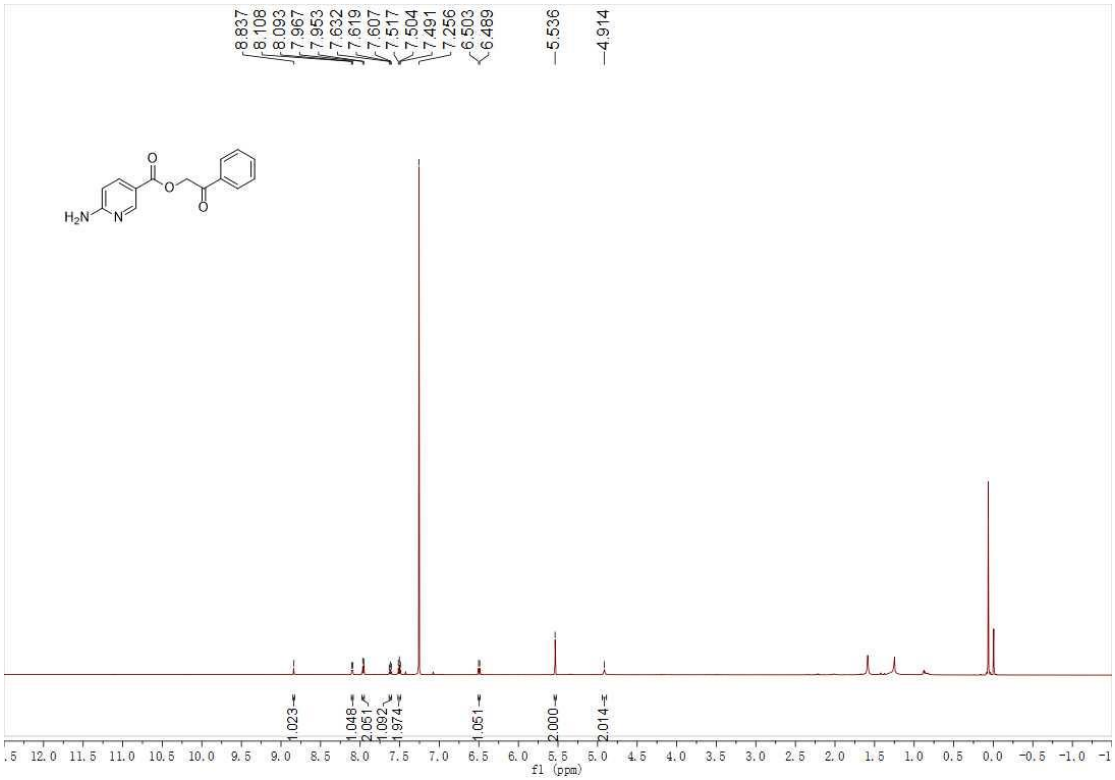
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **23**



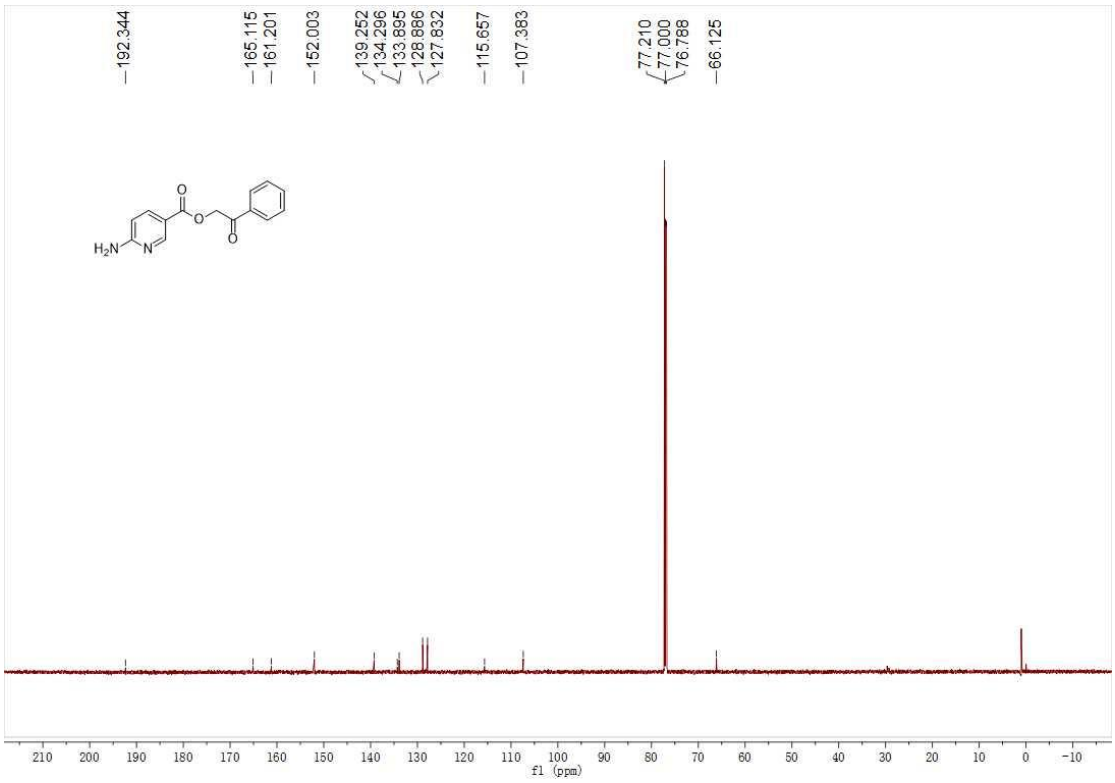
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **23**



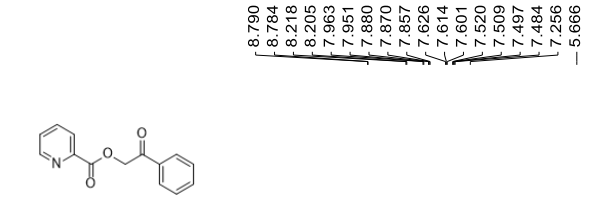
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **24**

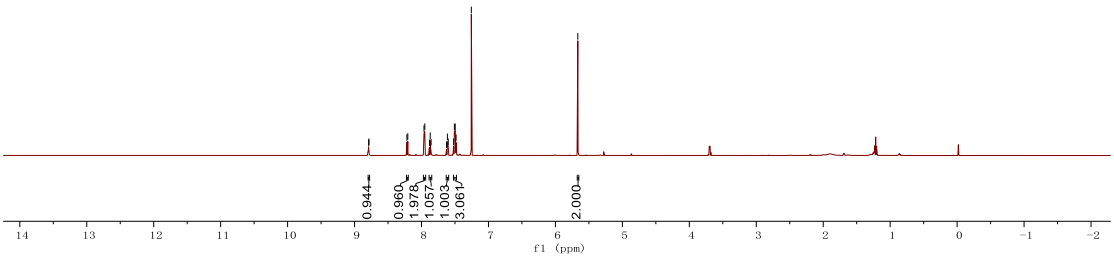


^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **24**

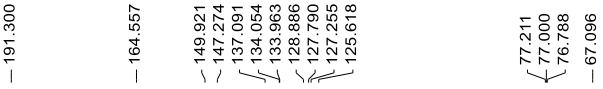


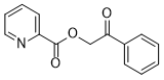
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **25**

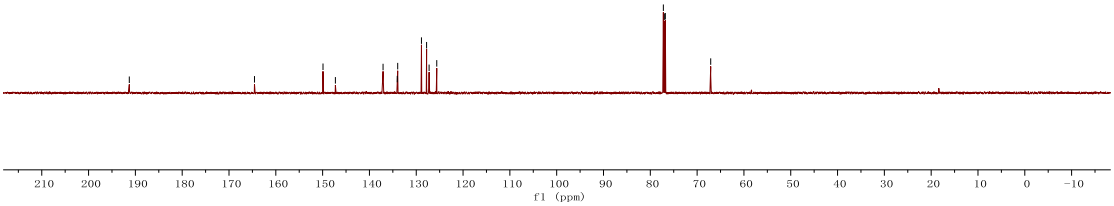




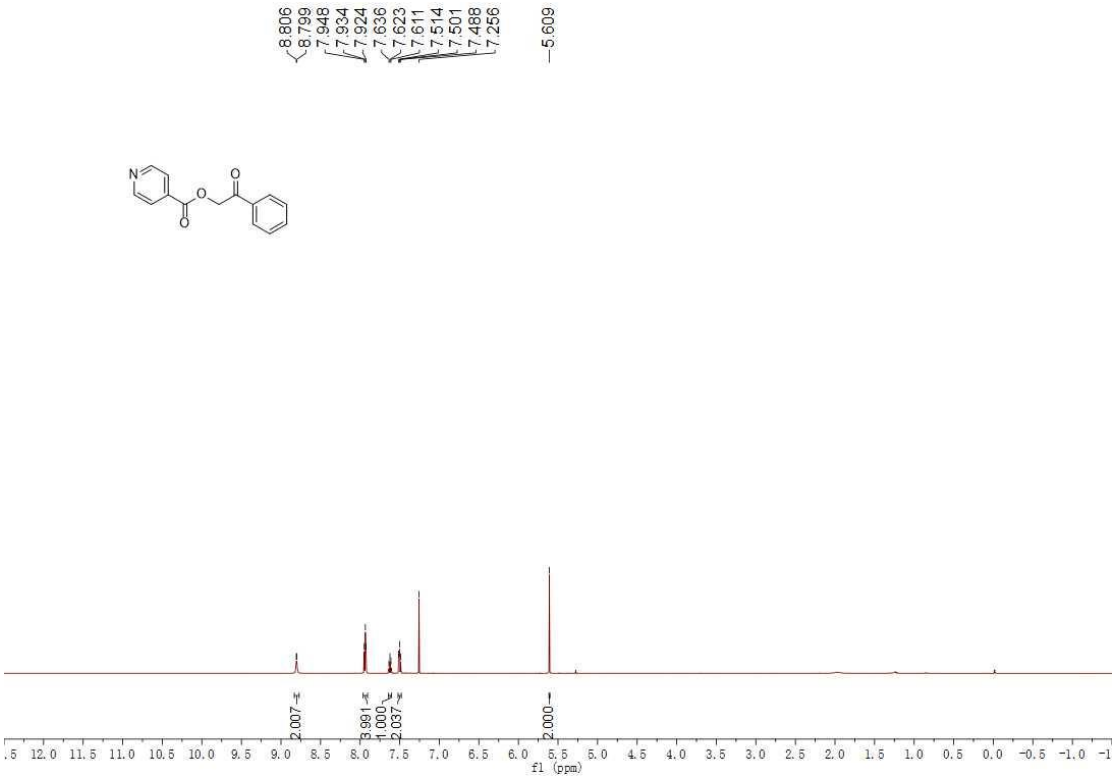
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **25**



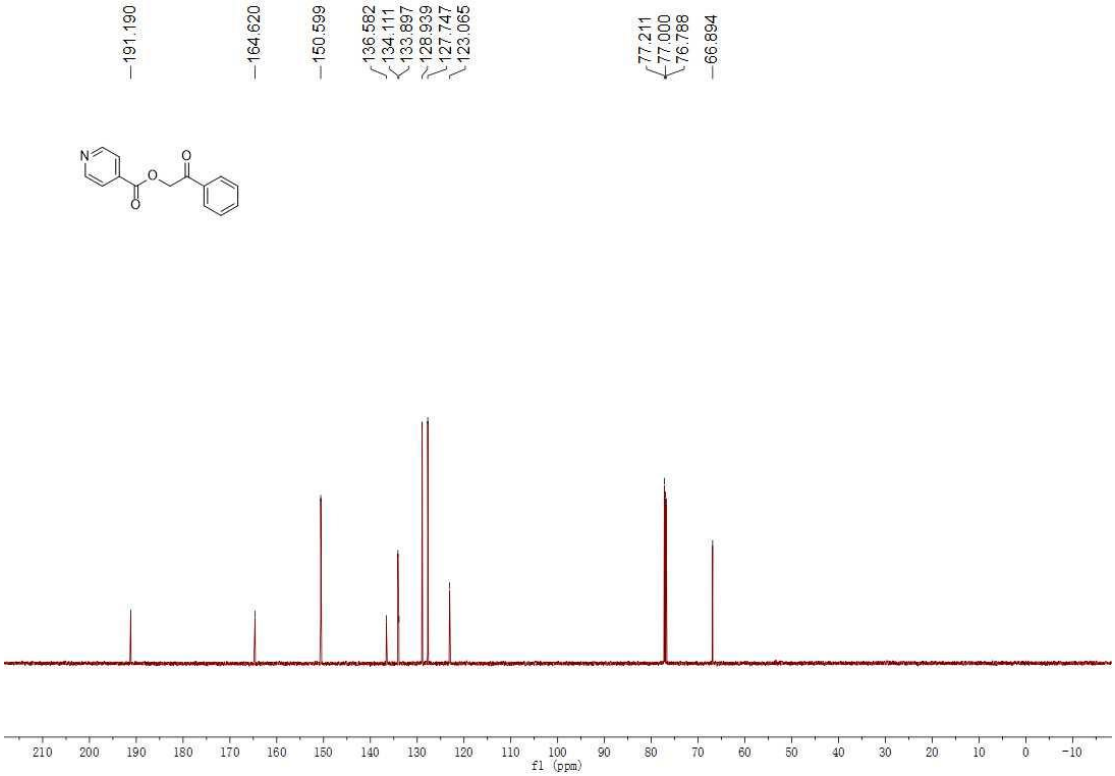




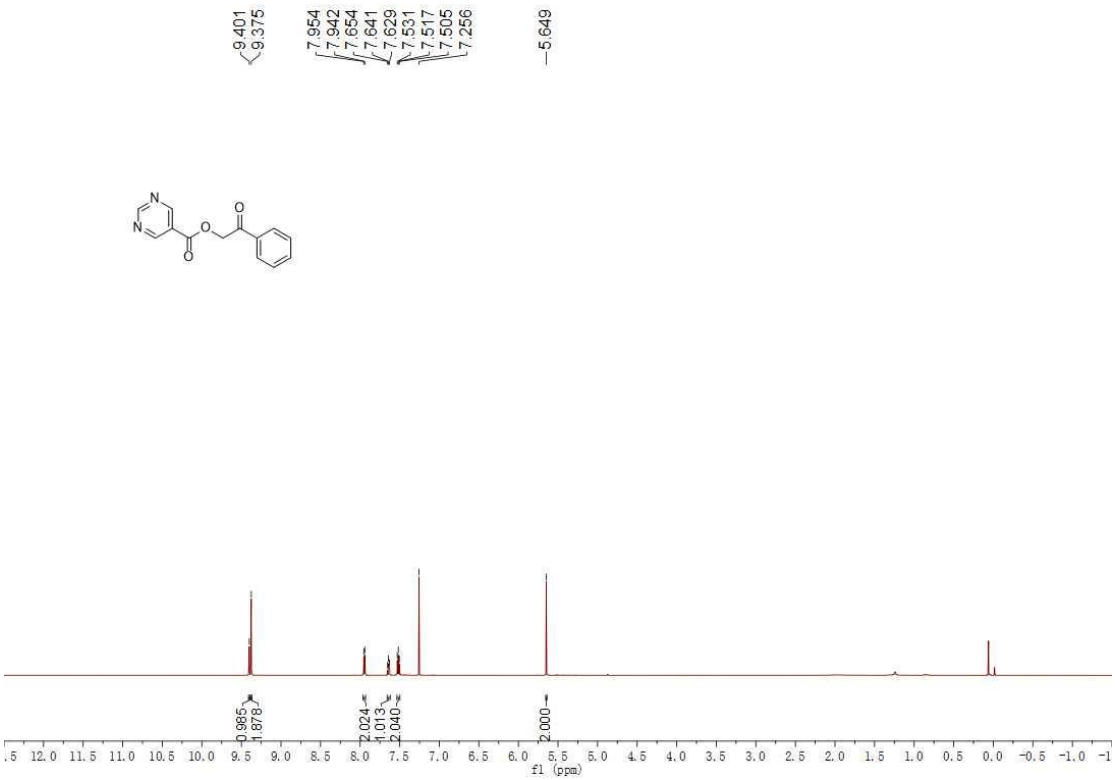
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **26**



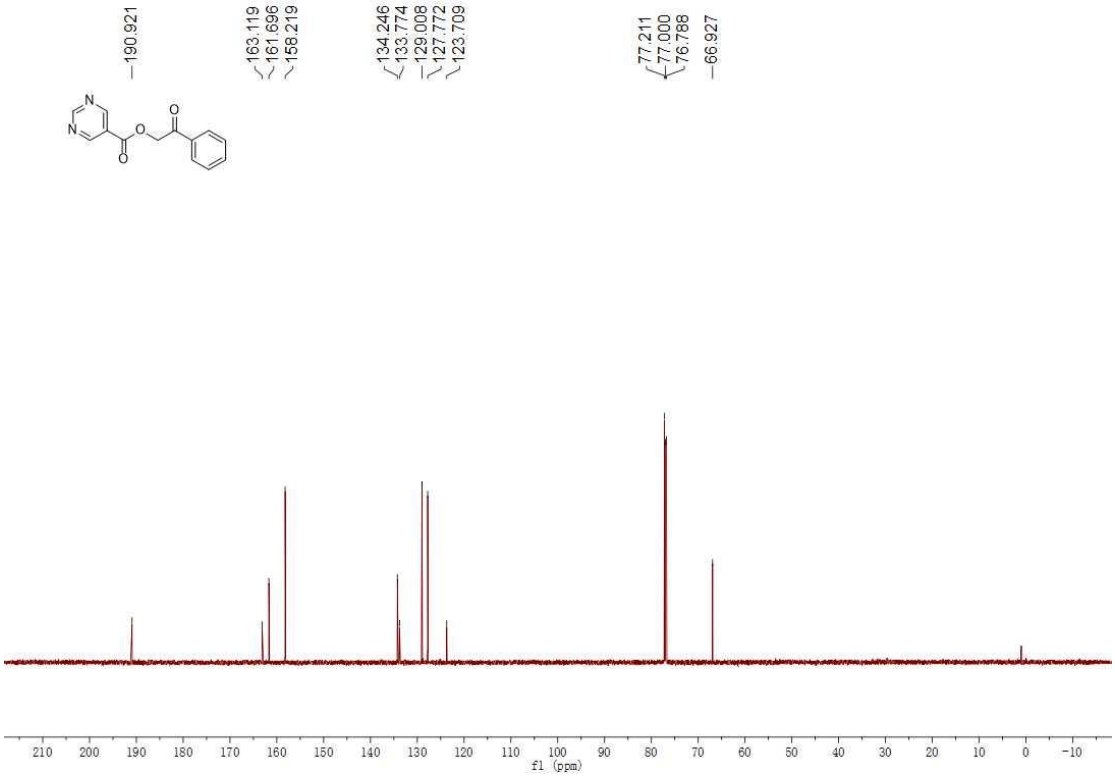
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **26**



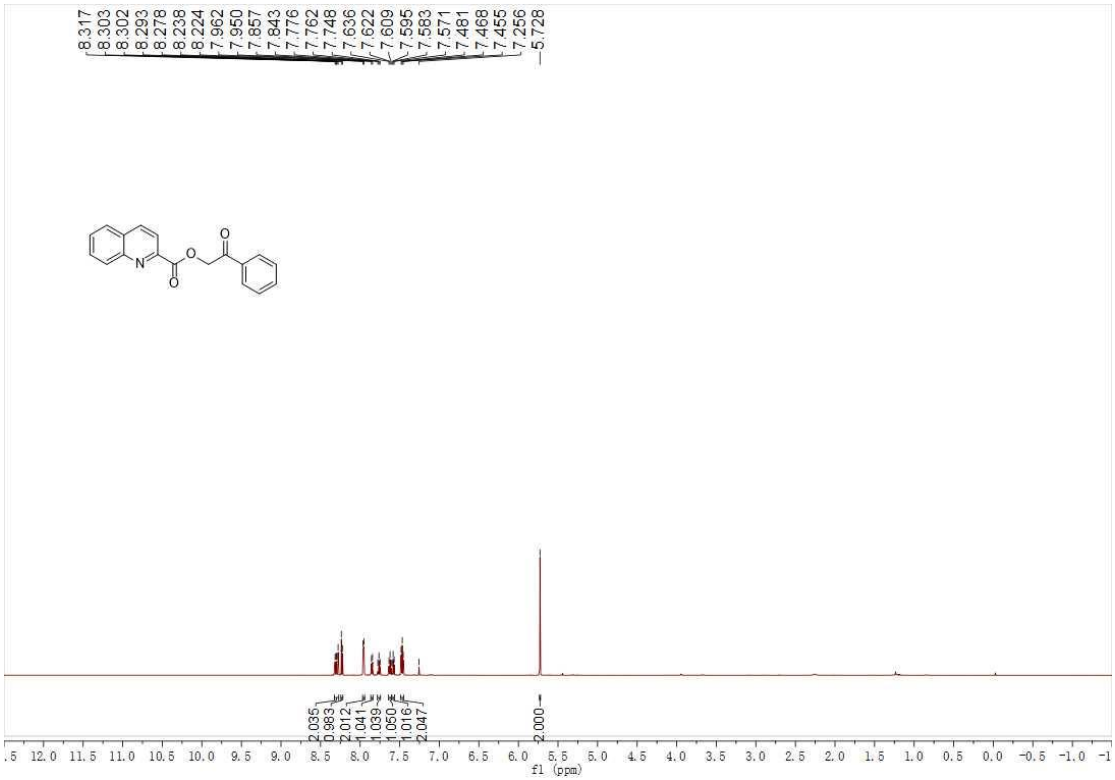
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **27**



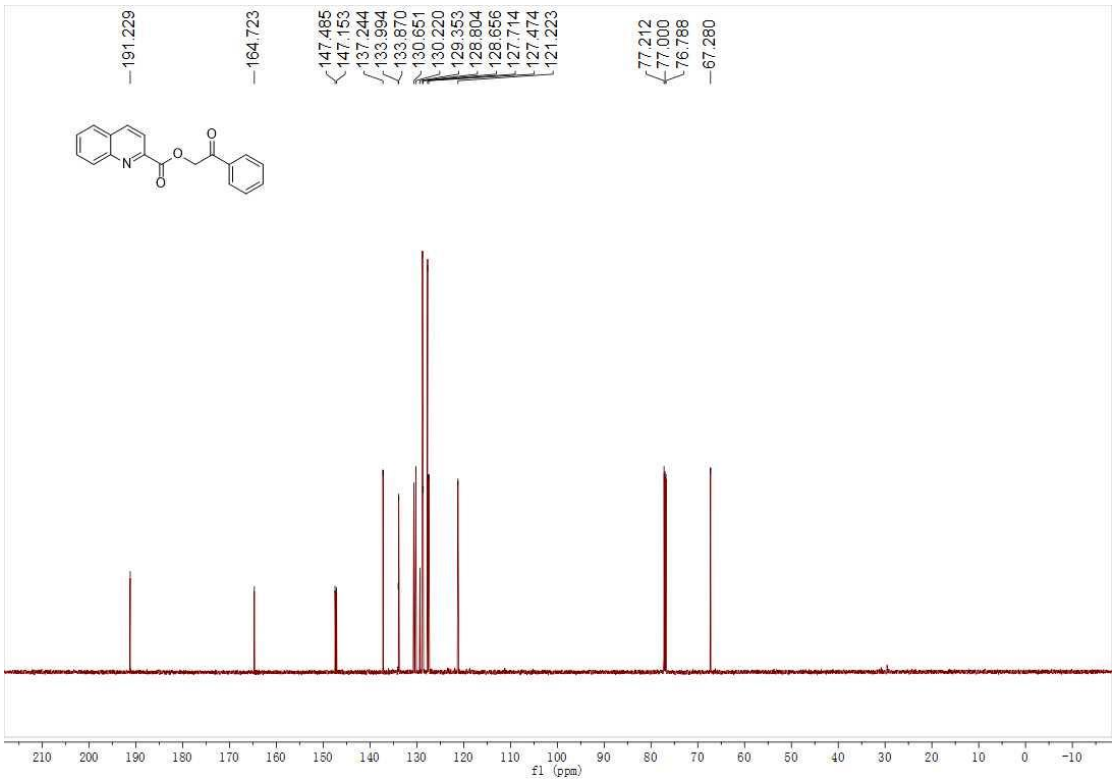
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **27**



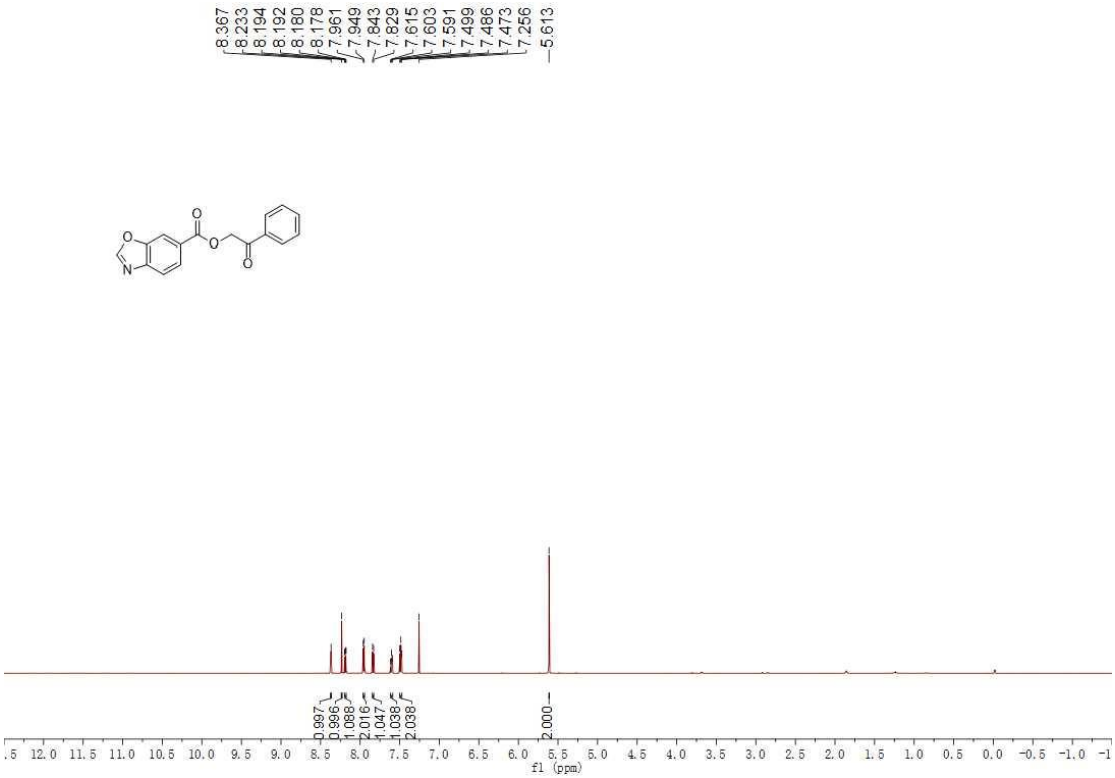
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **28**



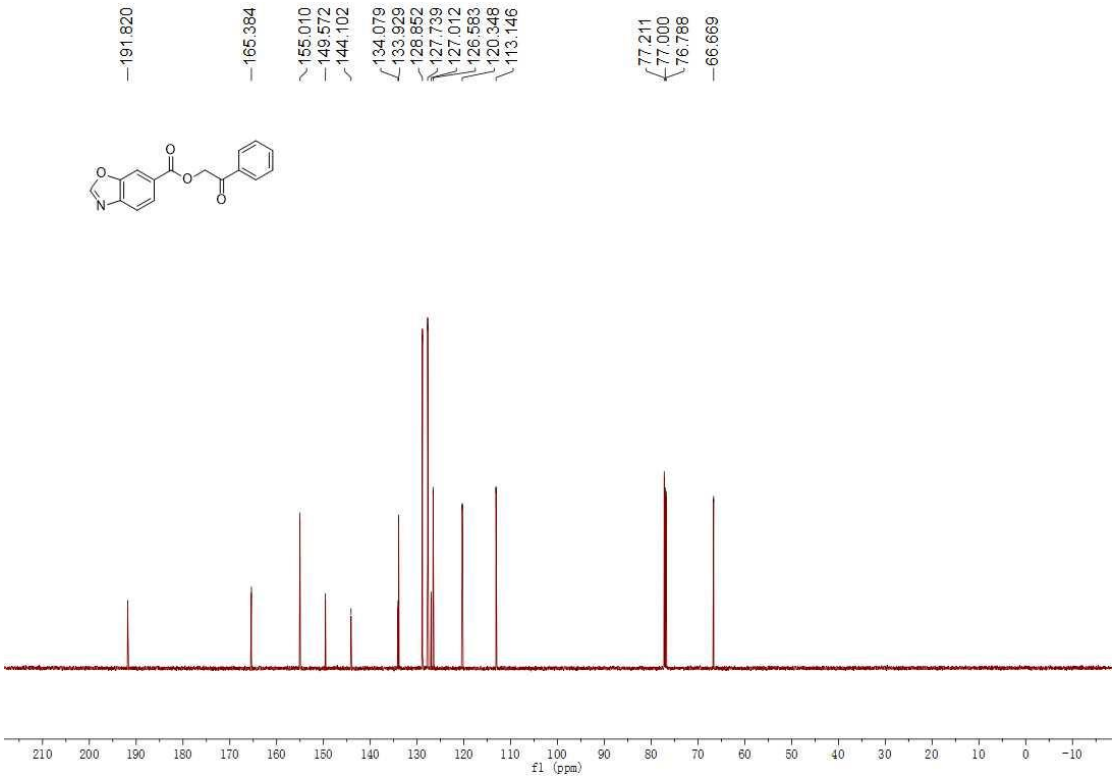
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **28**



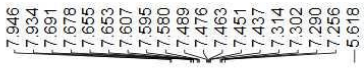
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **29**

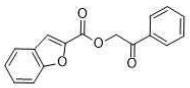


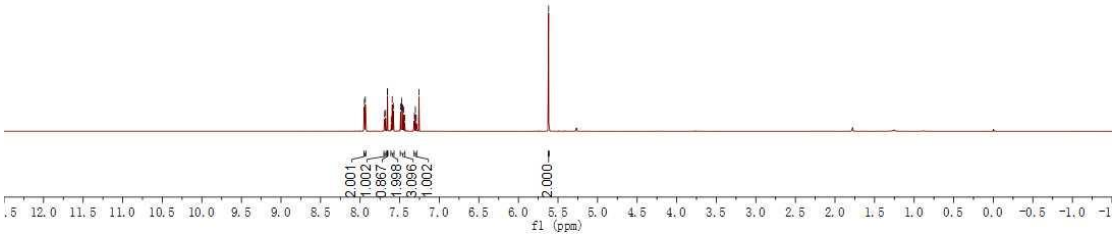
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **29**



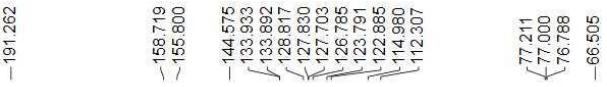
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **30**

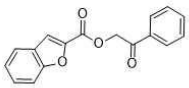


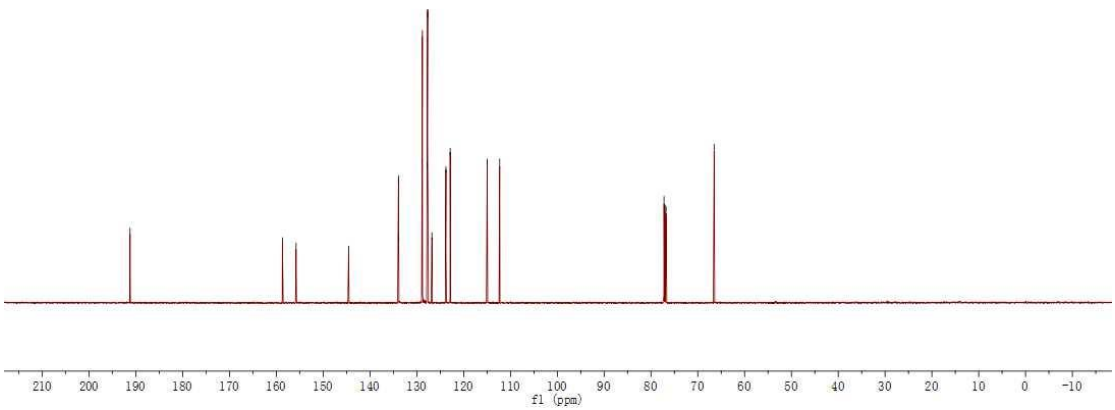




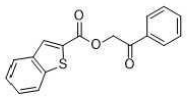
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **30**

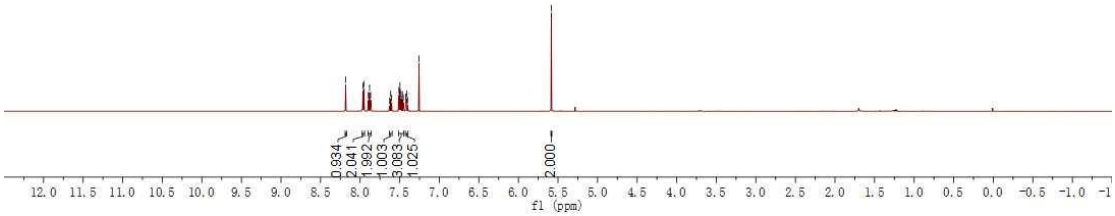




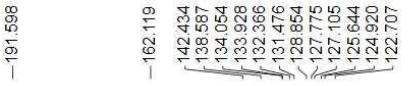


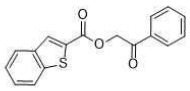
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **31**

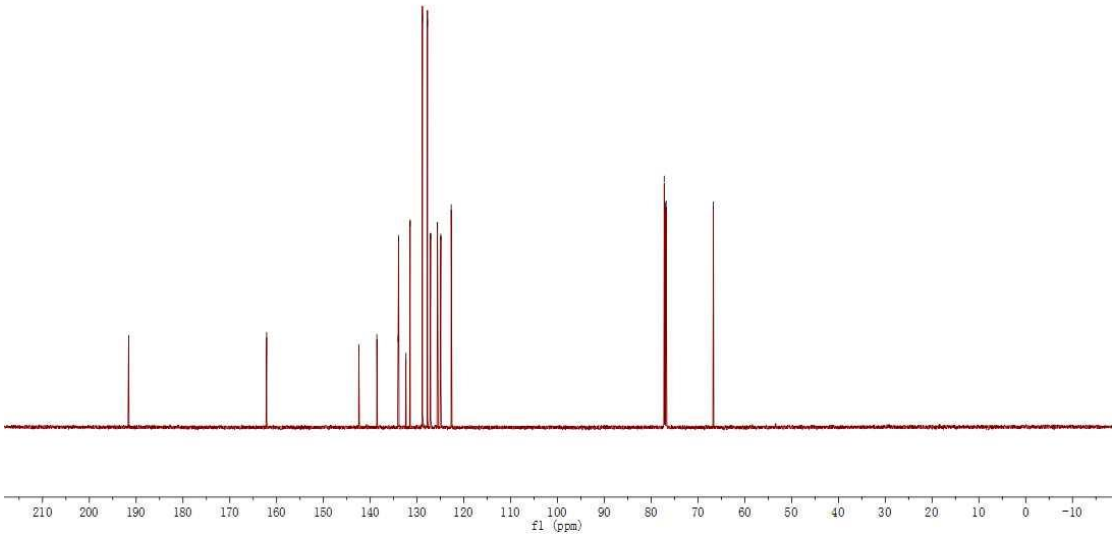




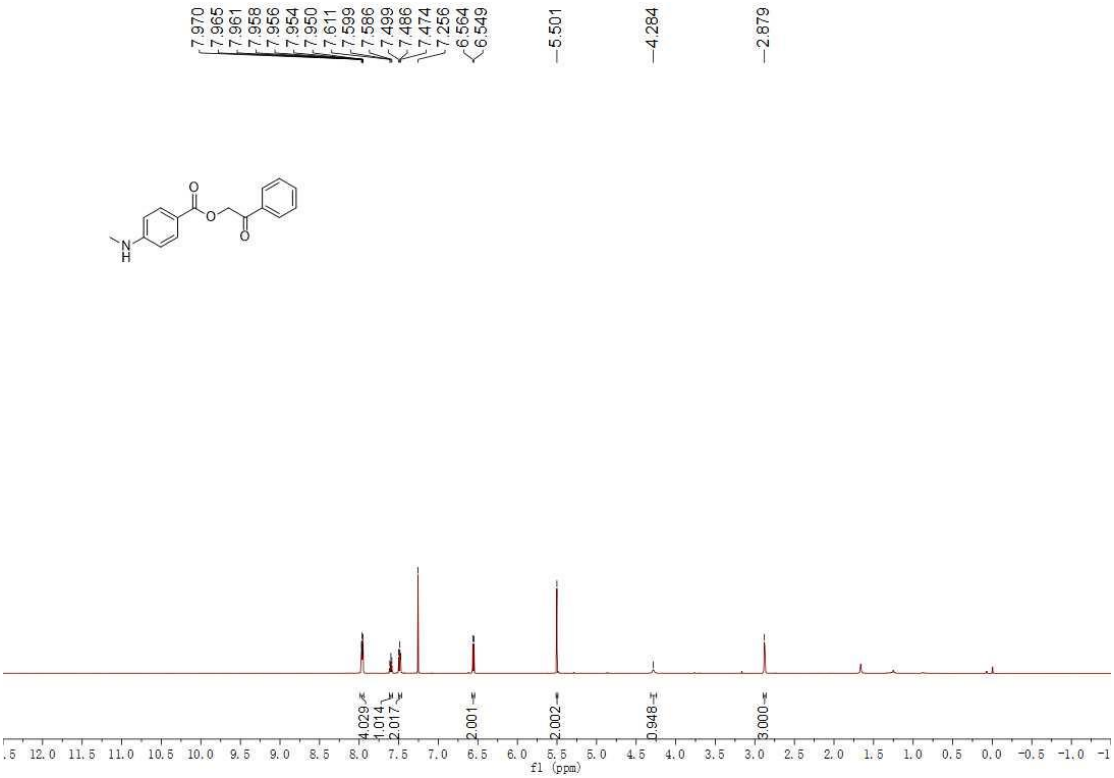
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **31**



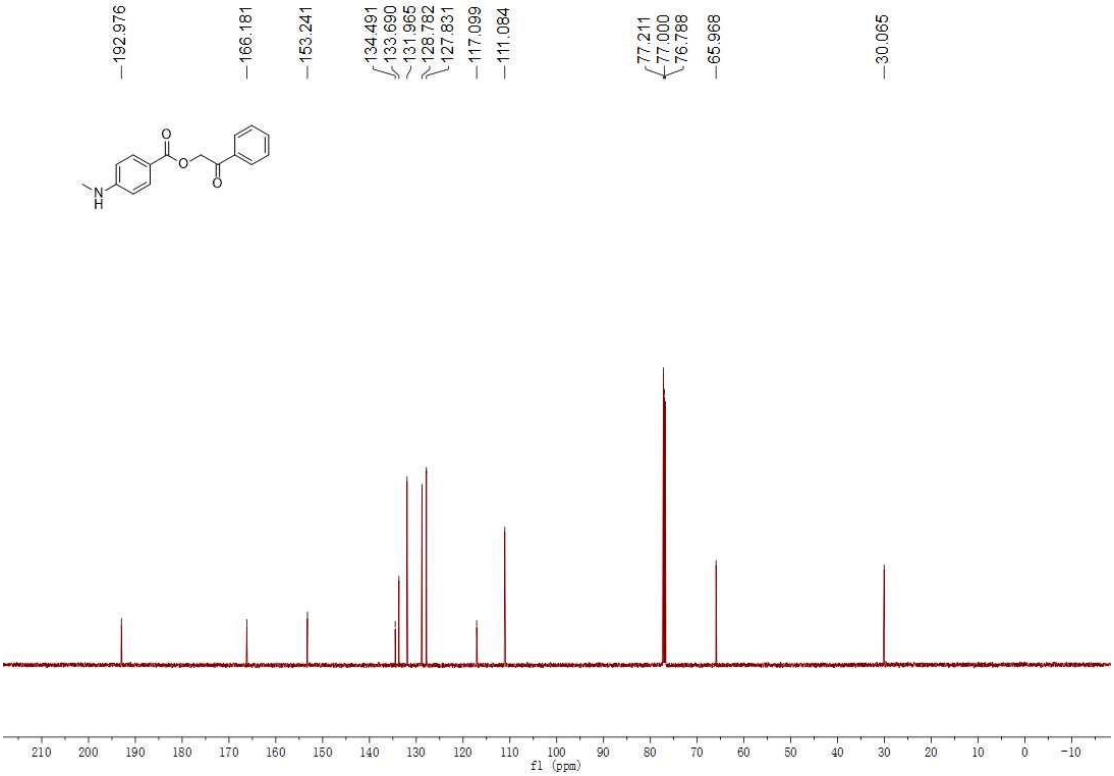




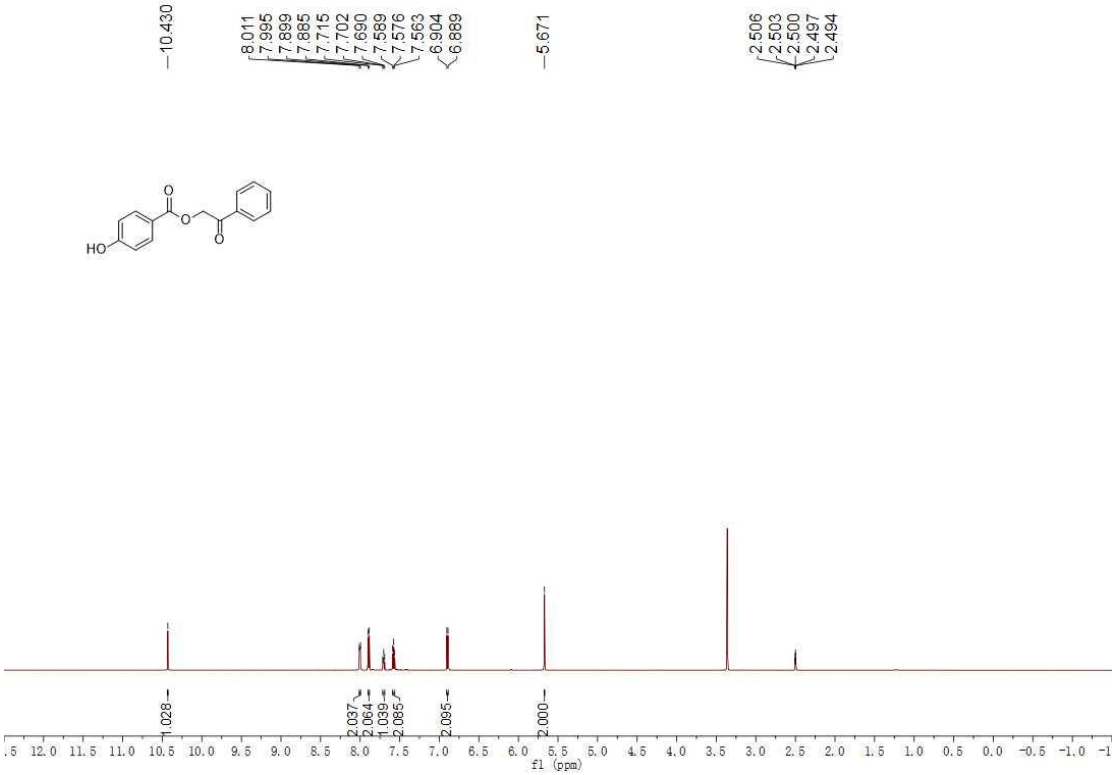
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **32**



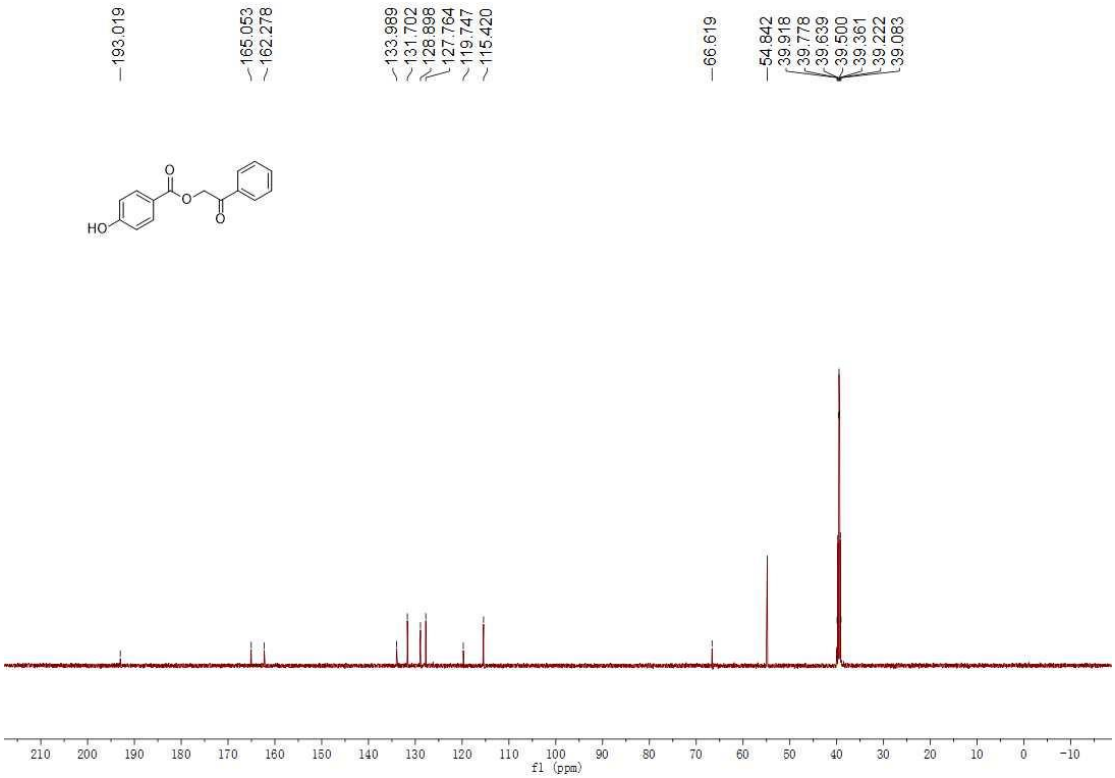
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **32**



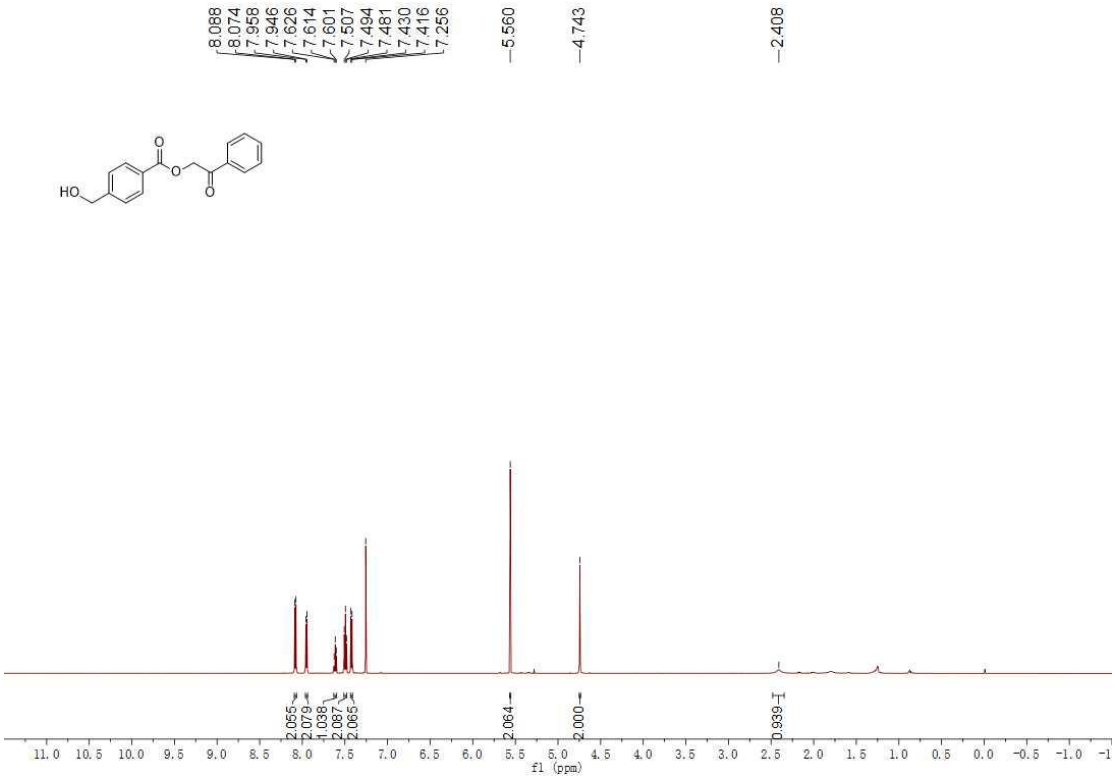
^{1}H NMR (600 MHz, DMSO-*d*6) Spectrum of **33**



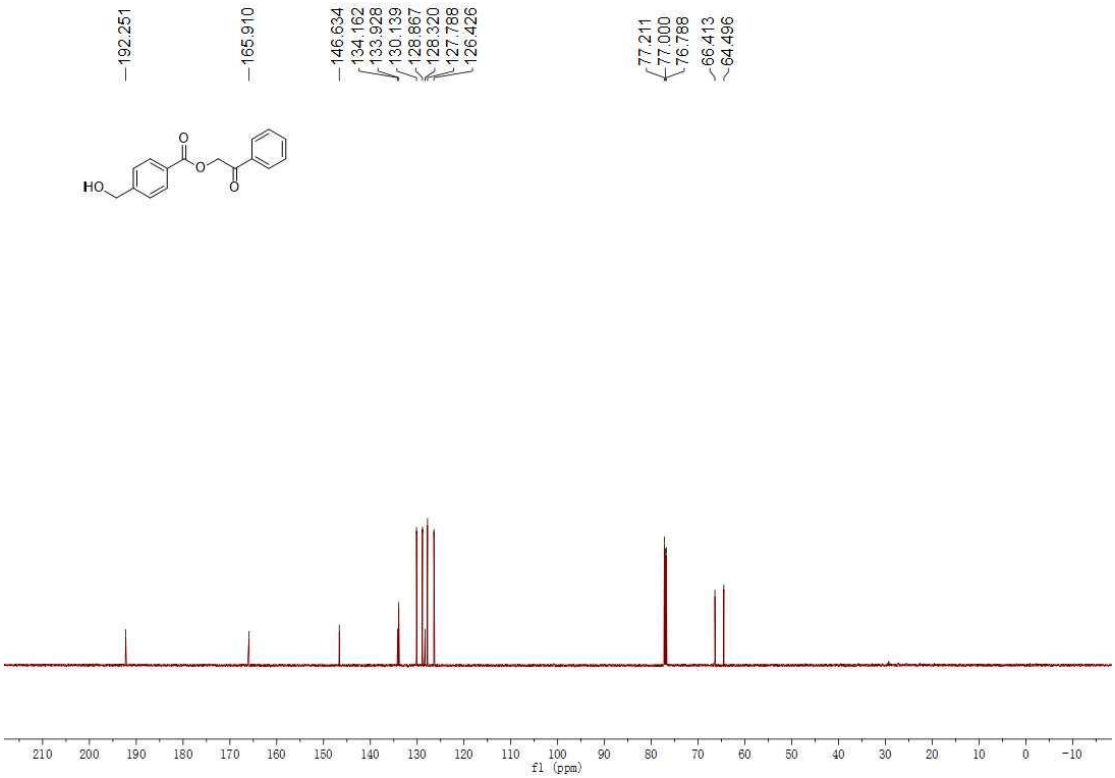
^{13}C NMR (150 MHz, DMSO-*d*6) Spectrum of **33**



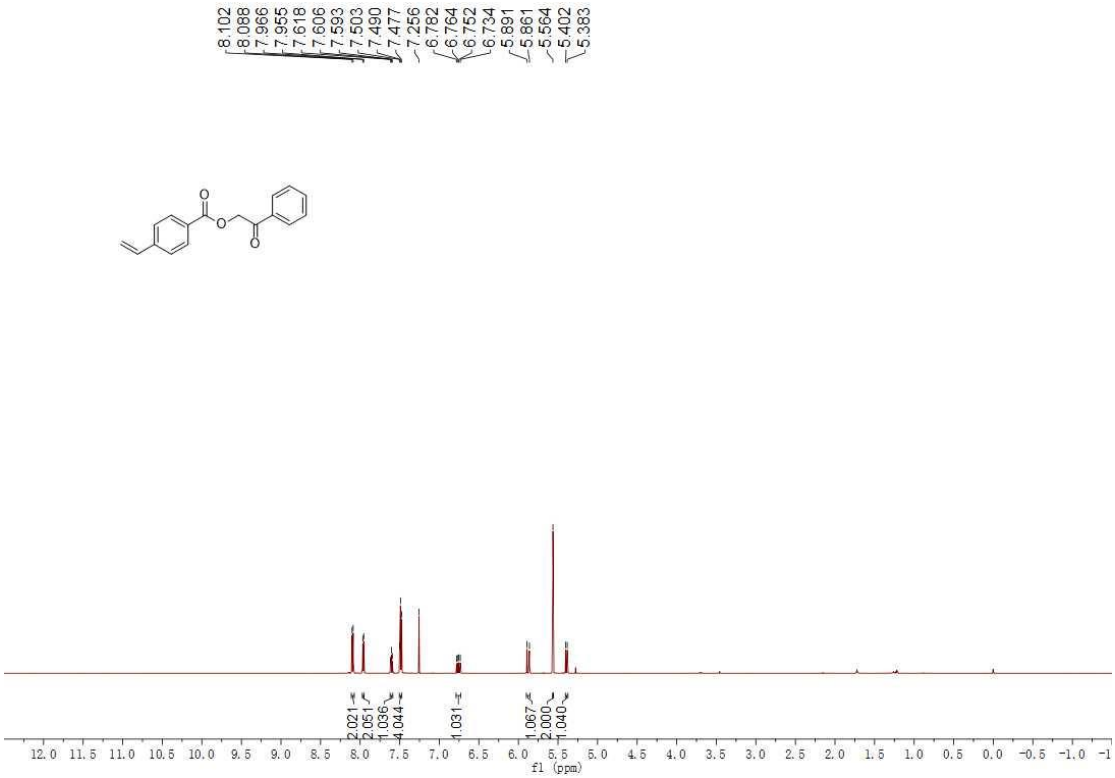
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **34**



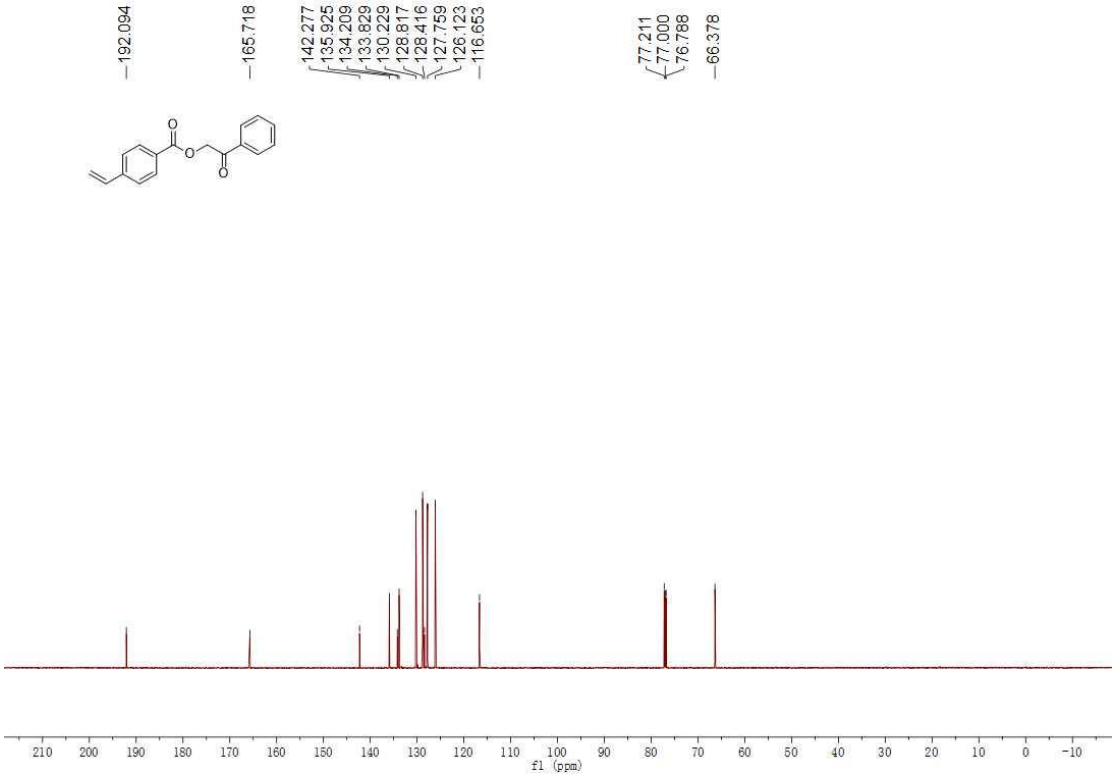
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **34**



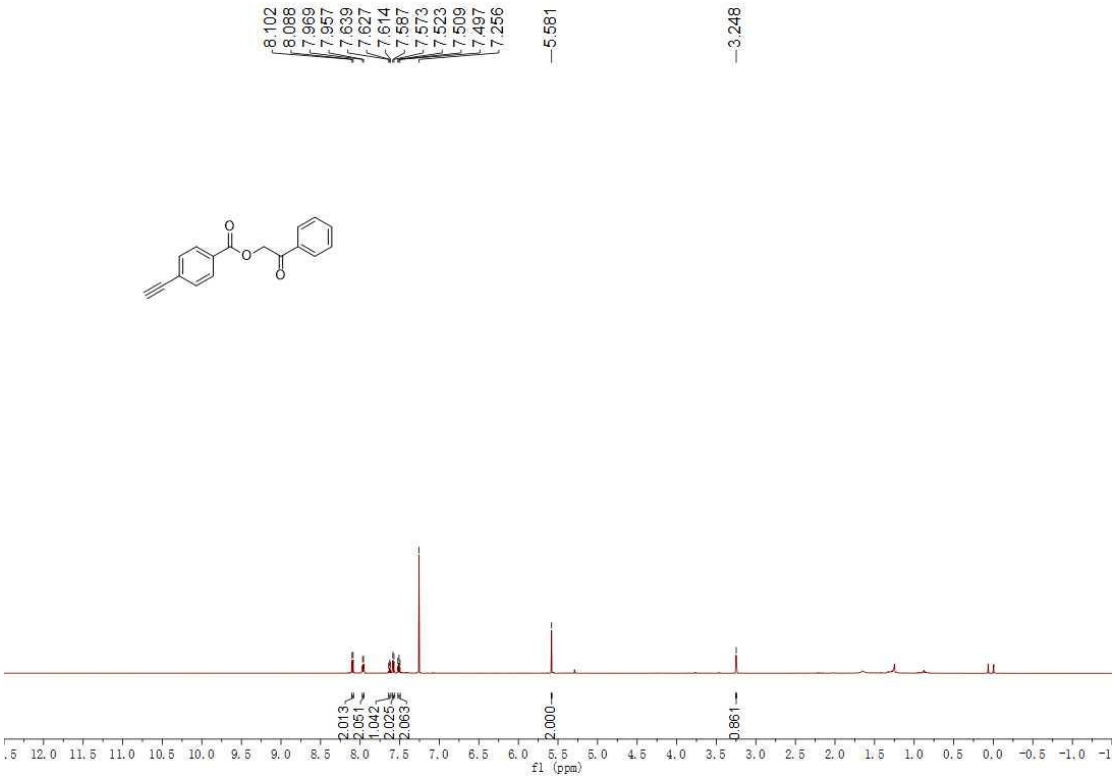
^{1}H NMR (600 MHz, $CDCl_3$) Spctrum of **35**



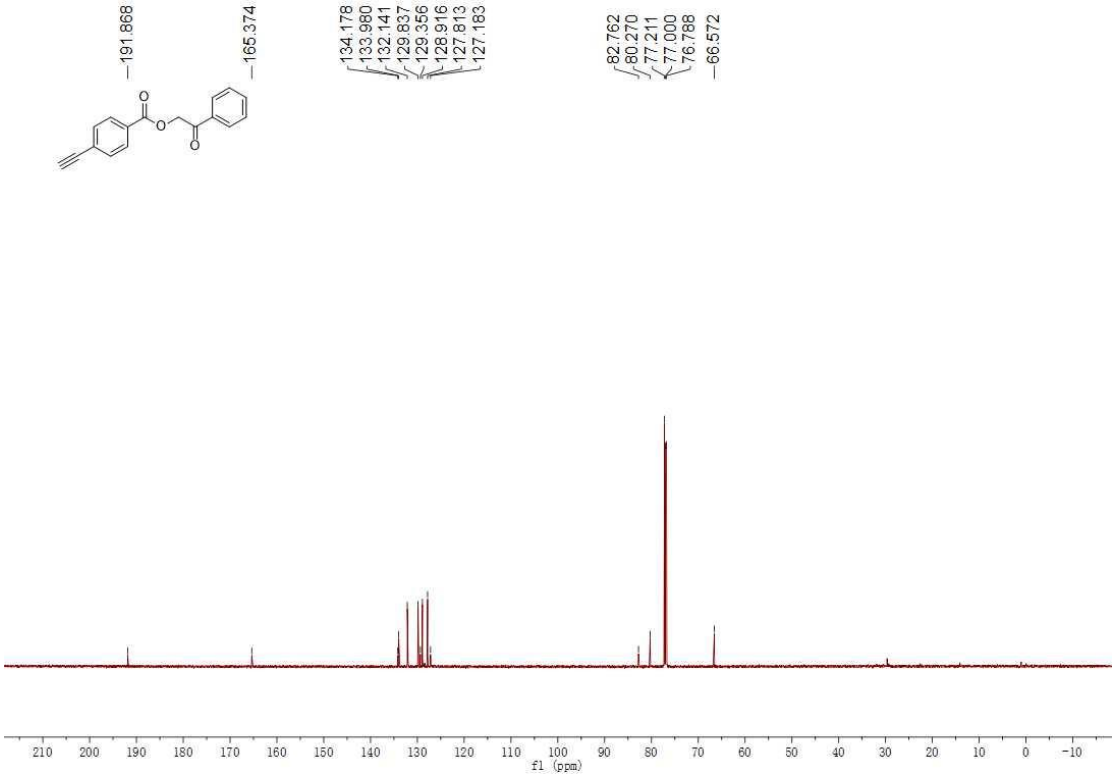
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **35**



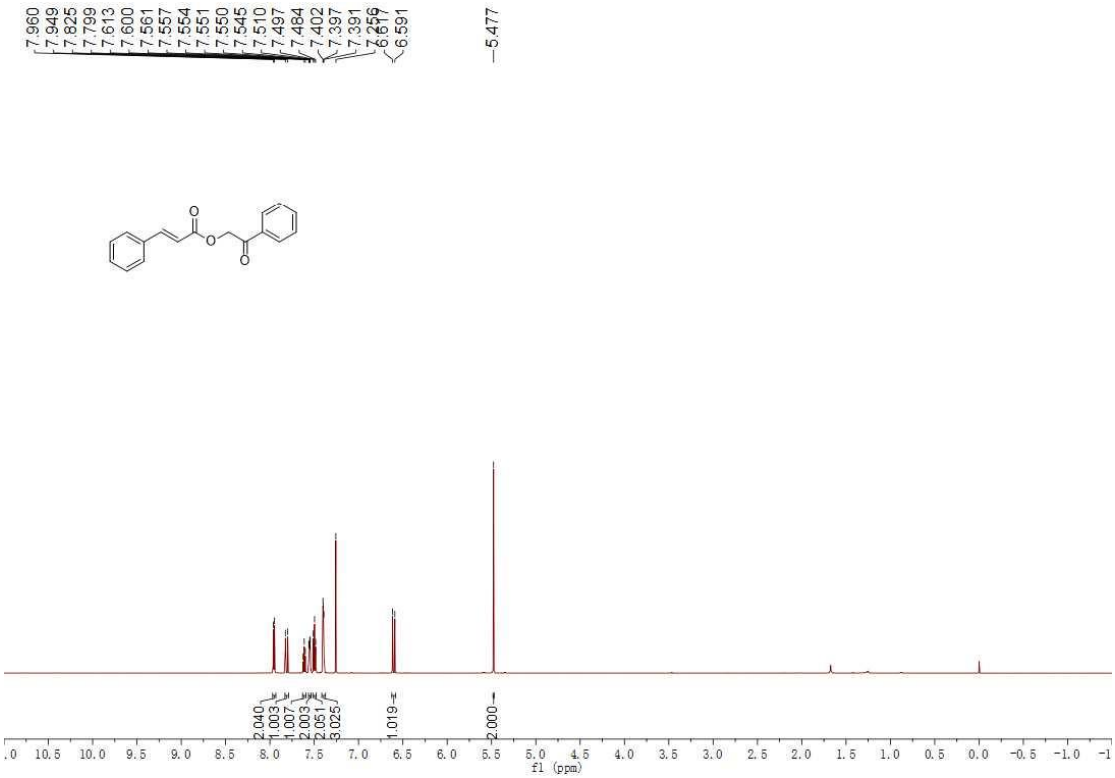
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **36**



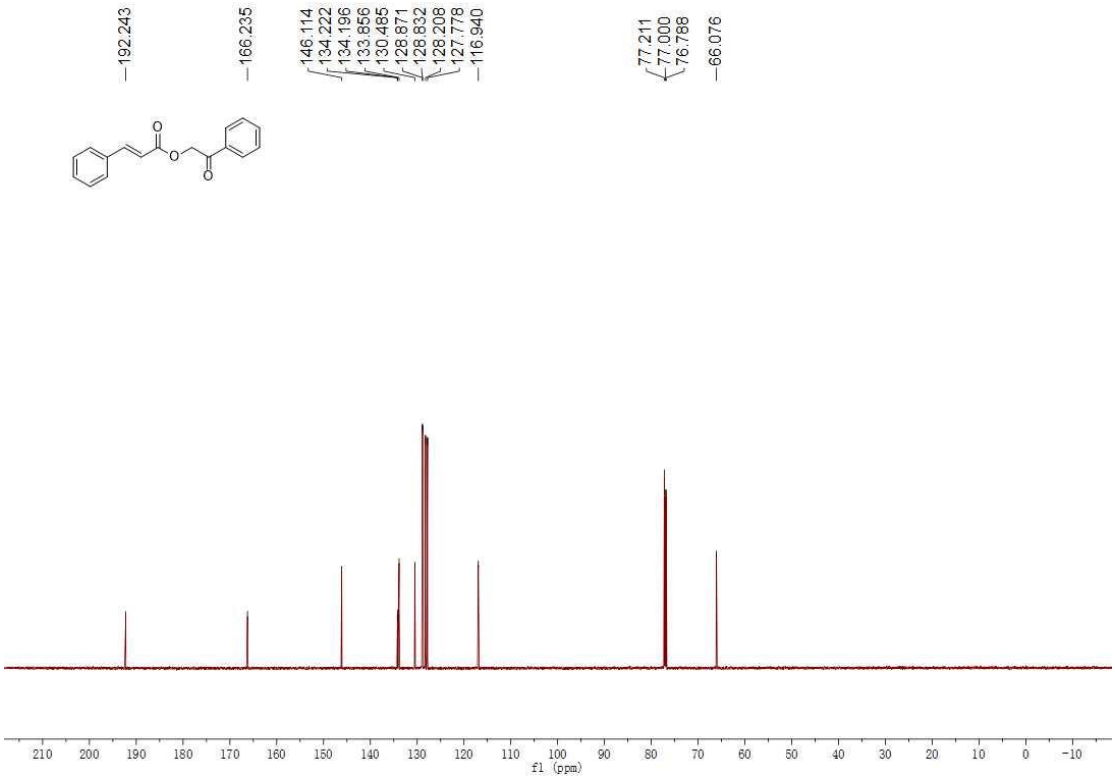
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **36**



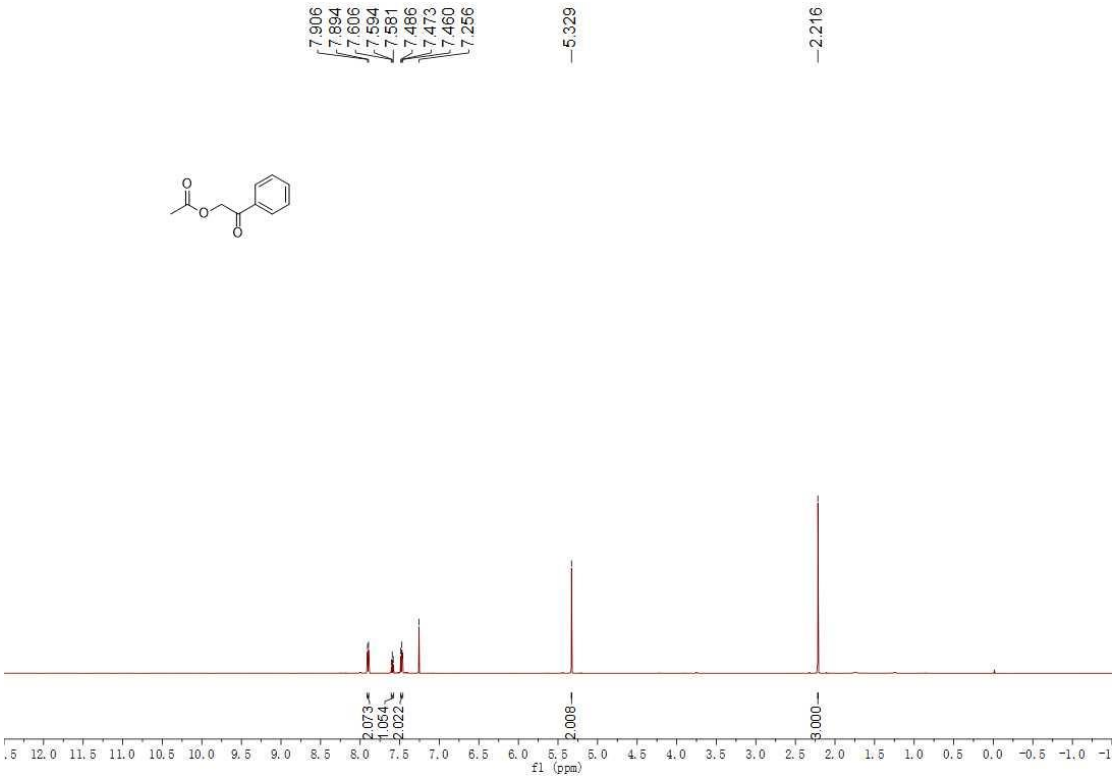
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **37**



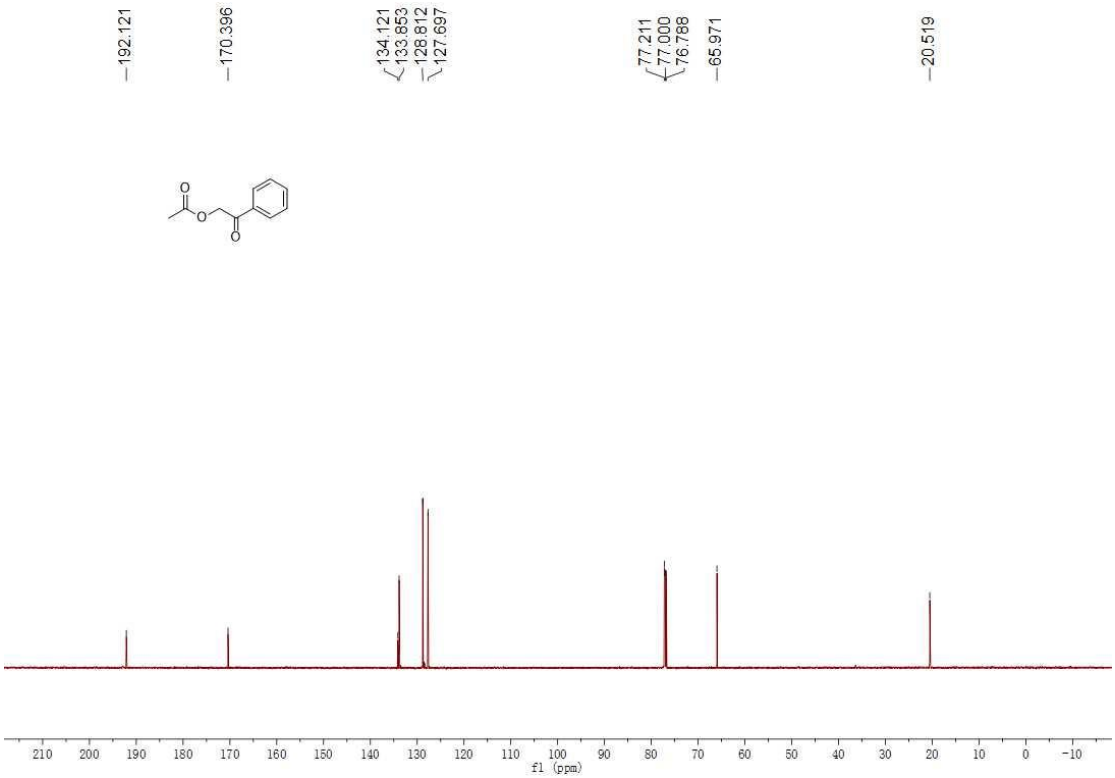
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **37**



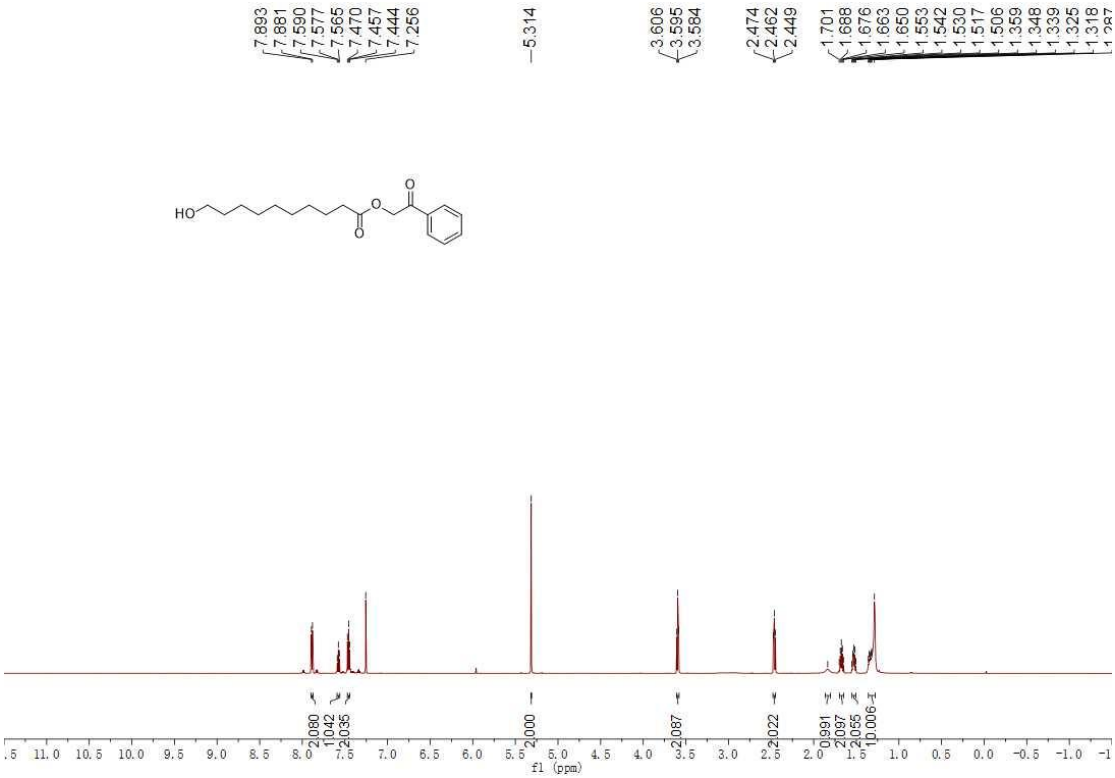
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **38**



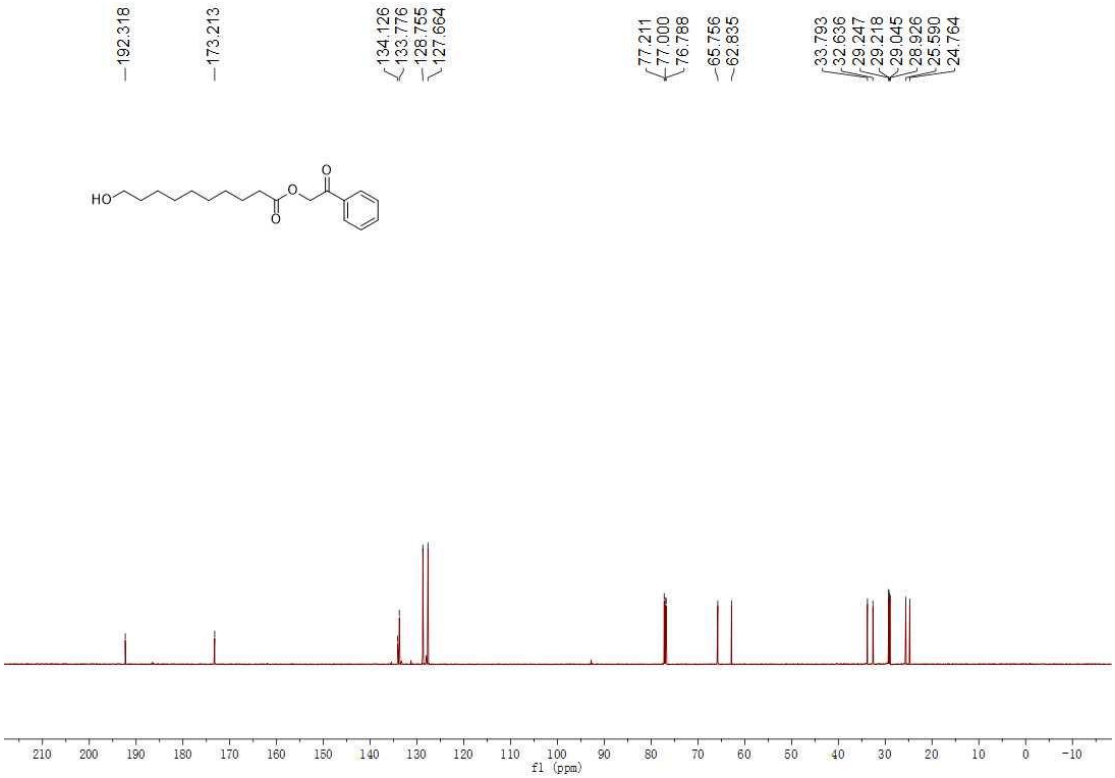
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **38**



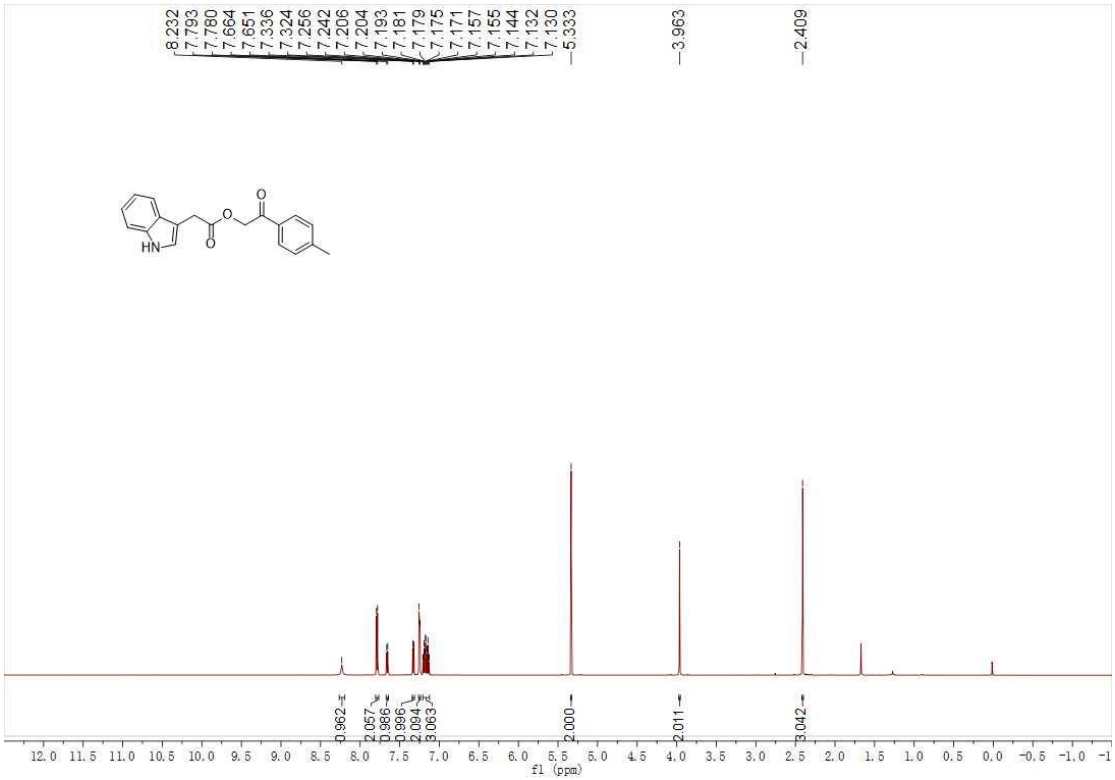
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **39**



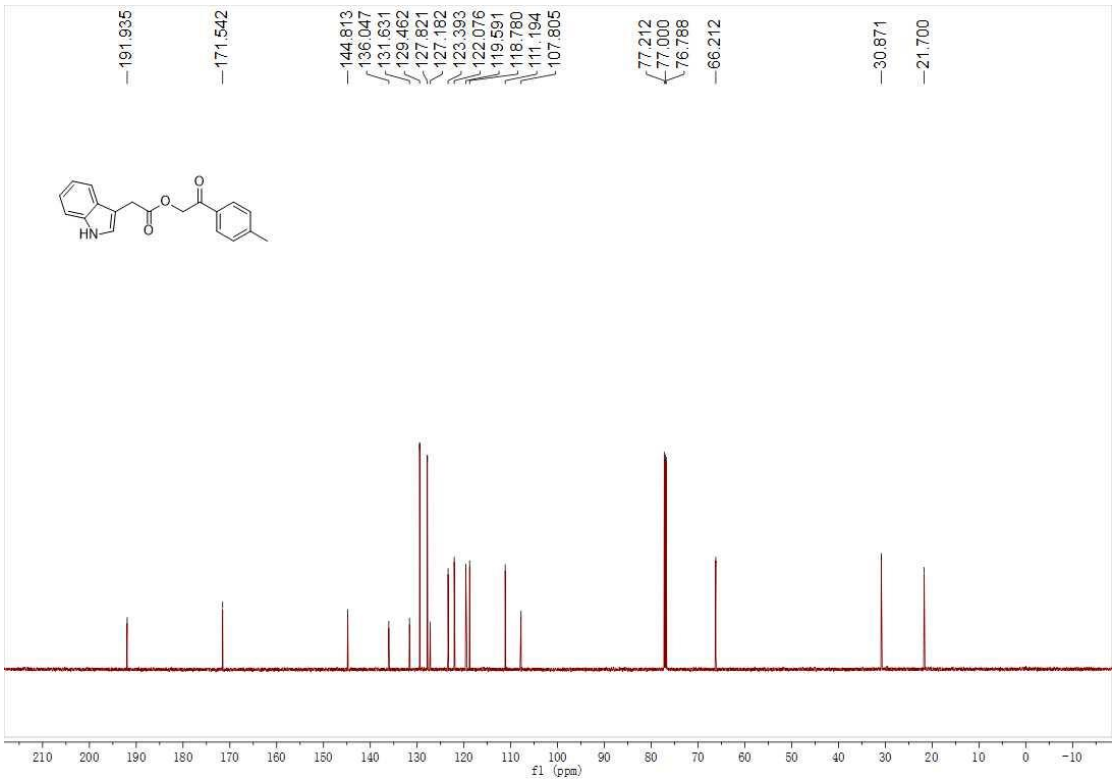
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **39**



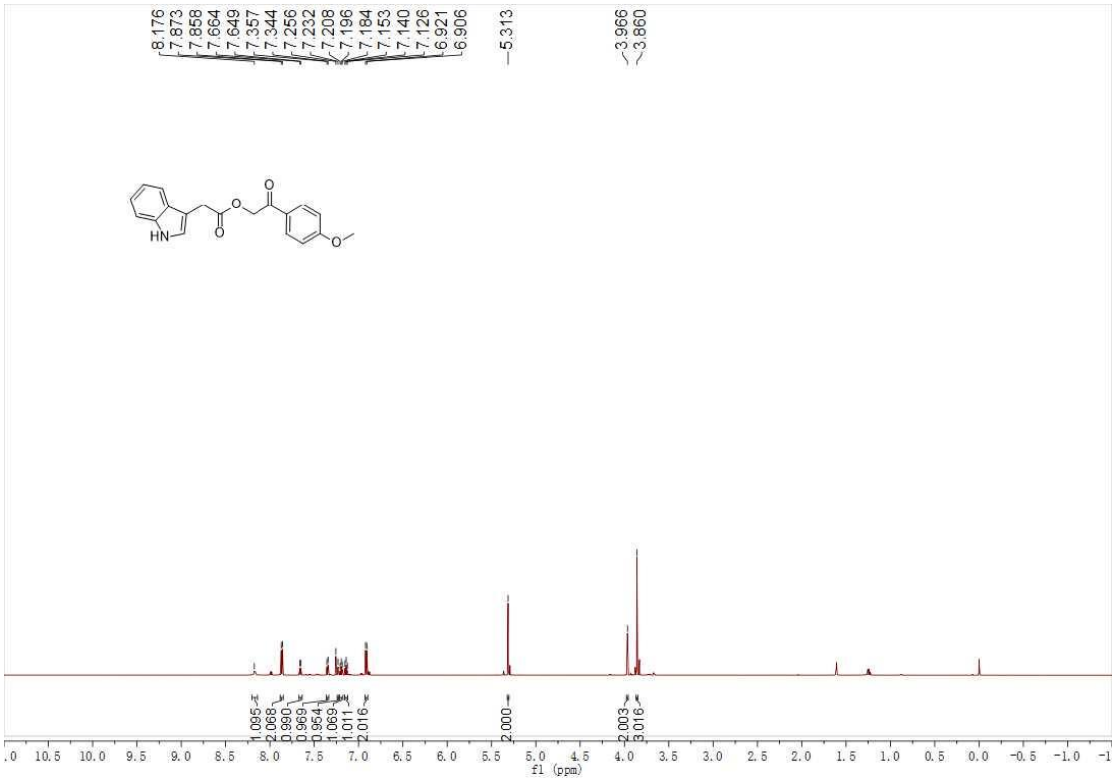
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **40**



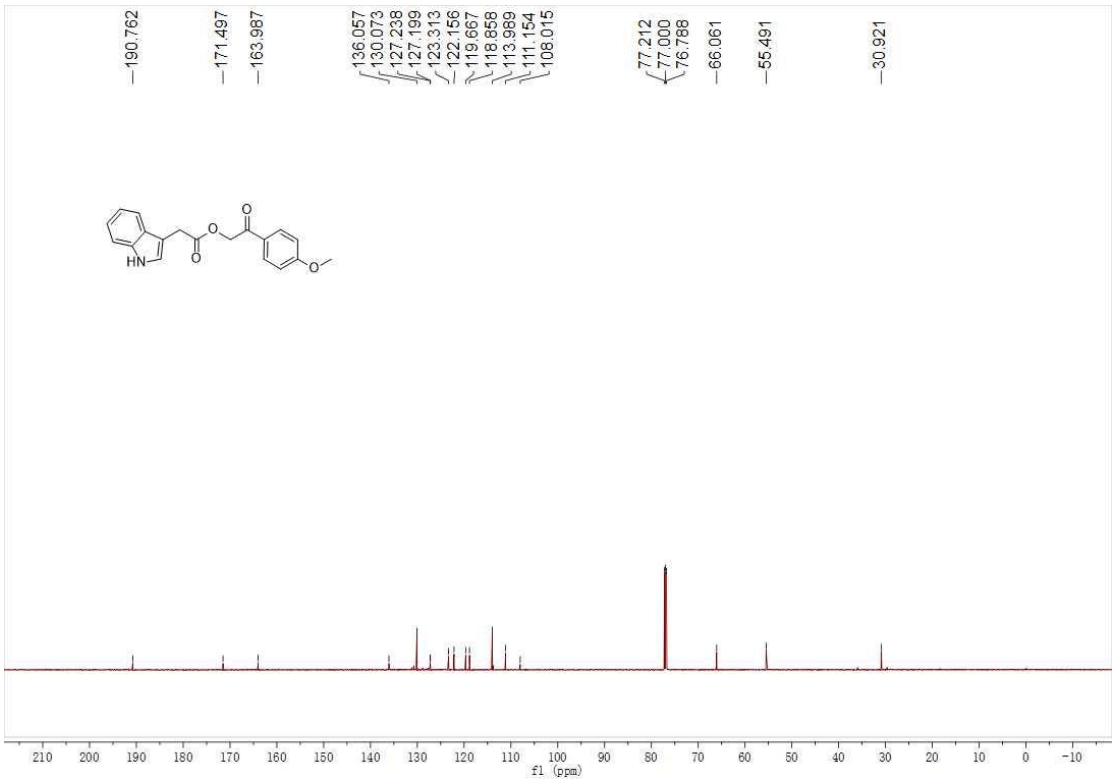
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **40**



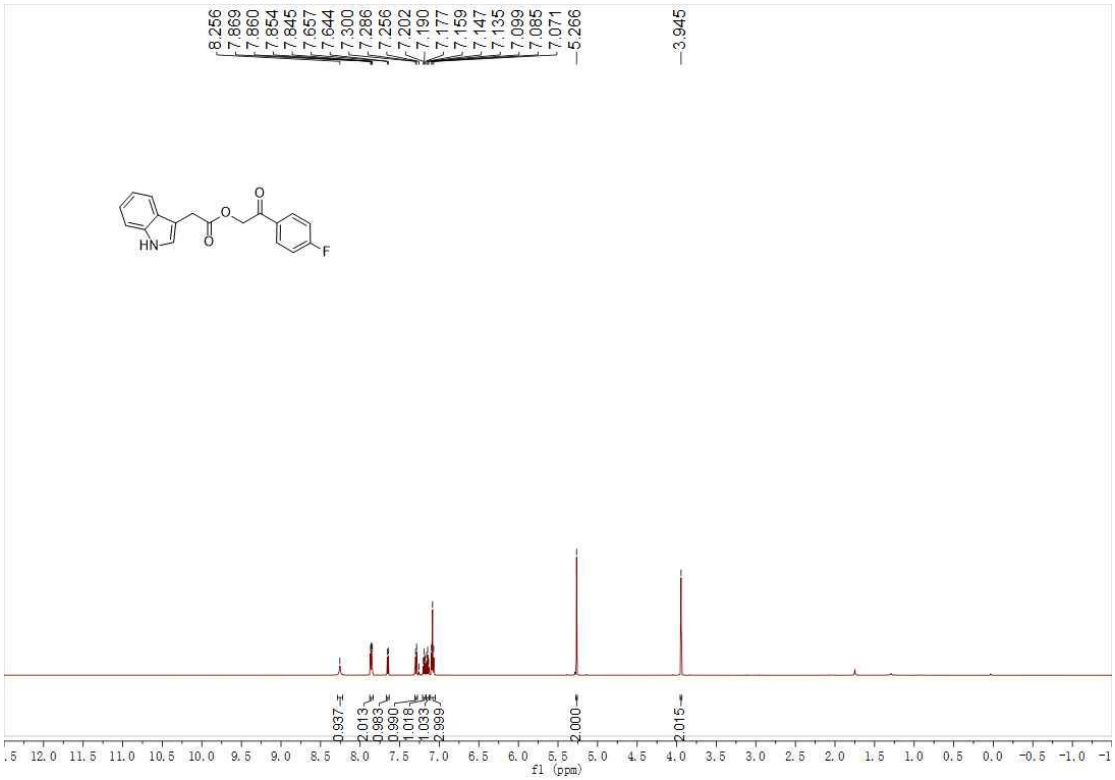
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **41**



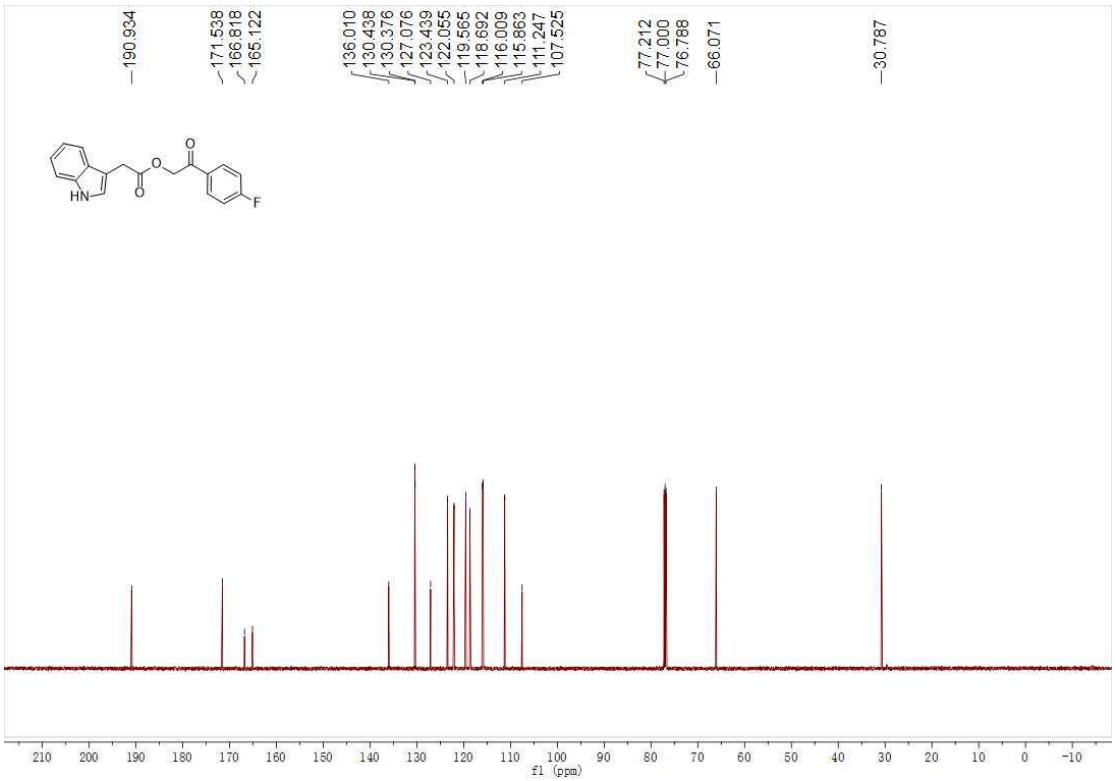
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **41**



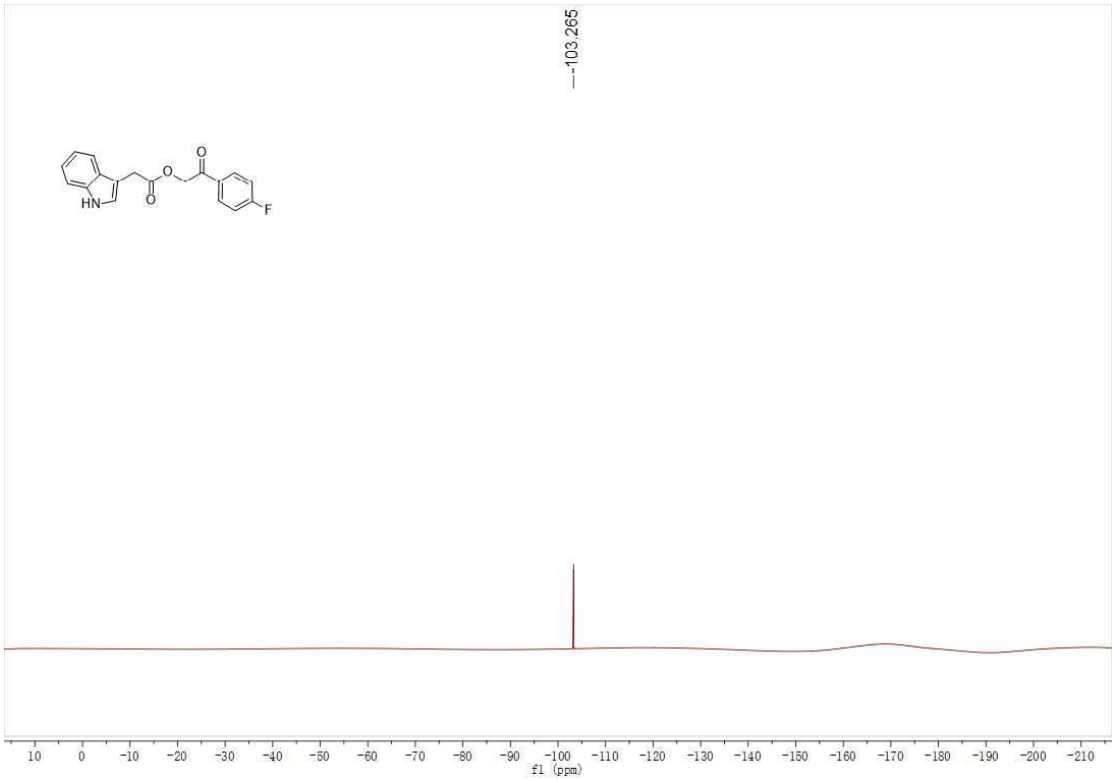
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **42**



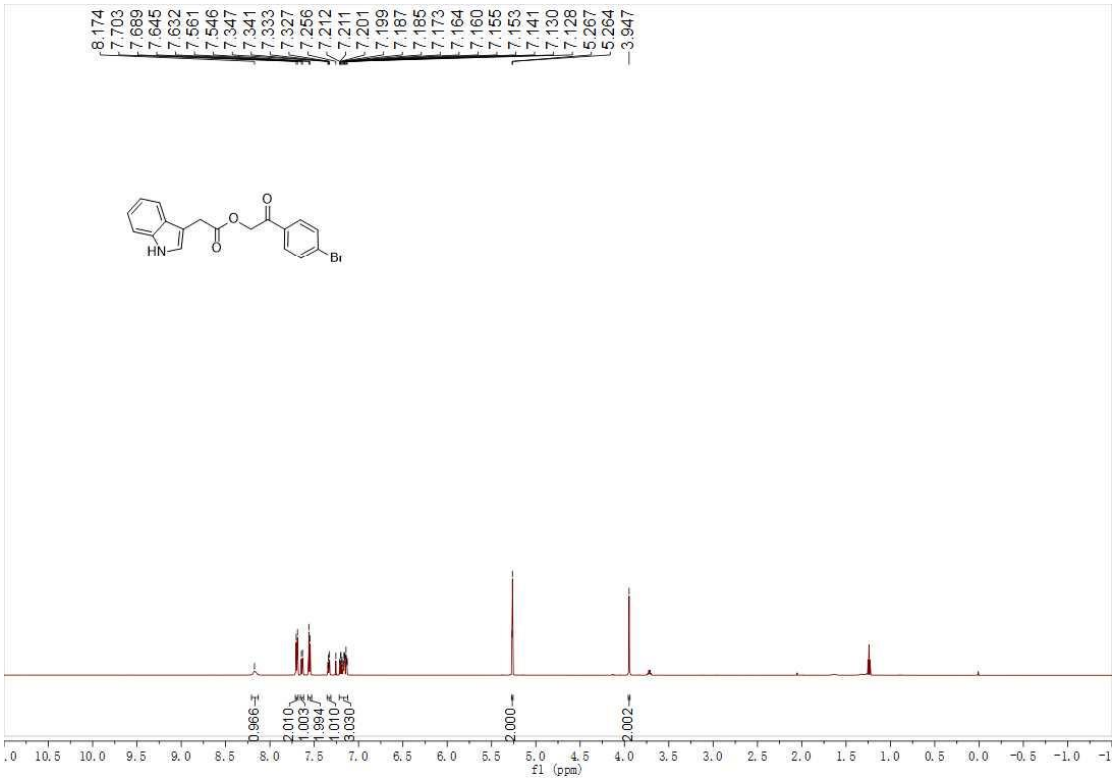
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **42**



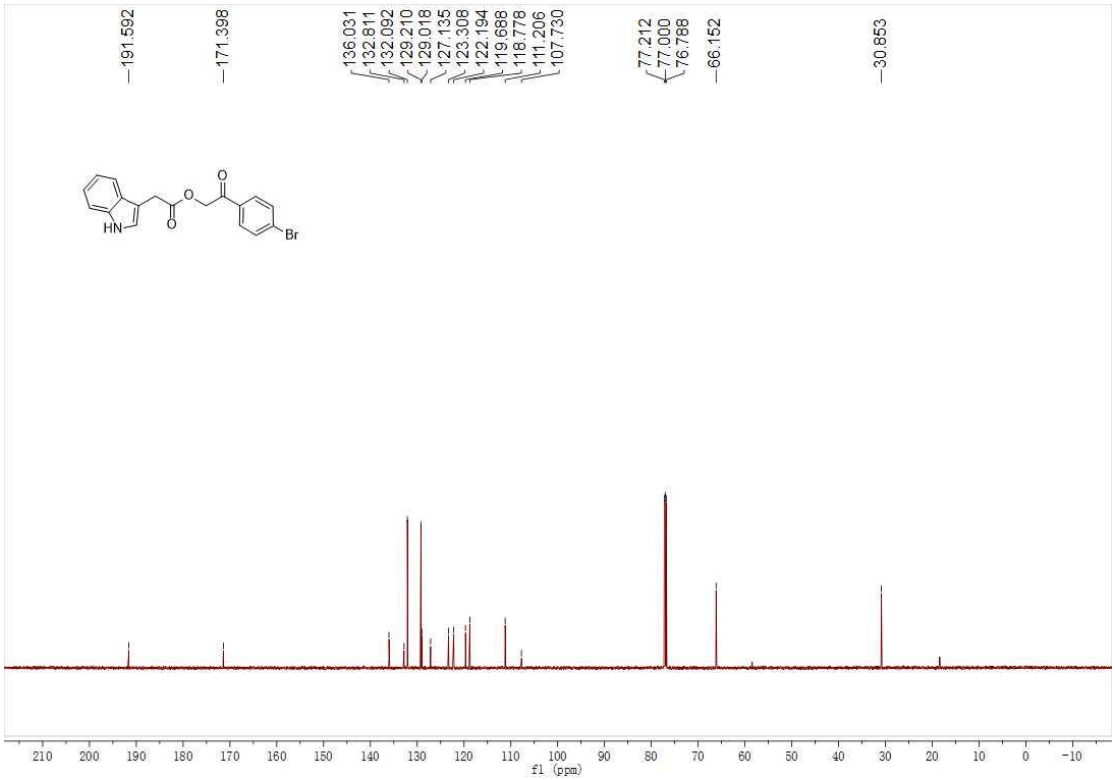
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **42**



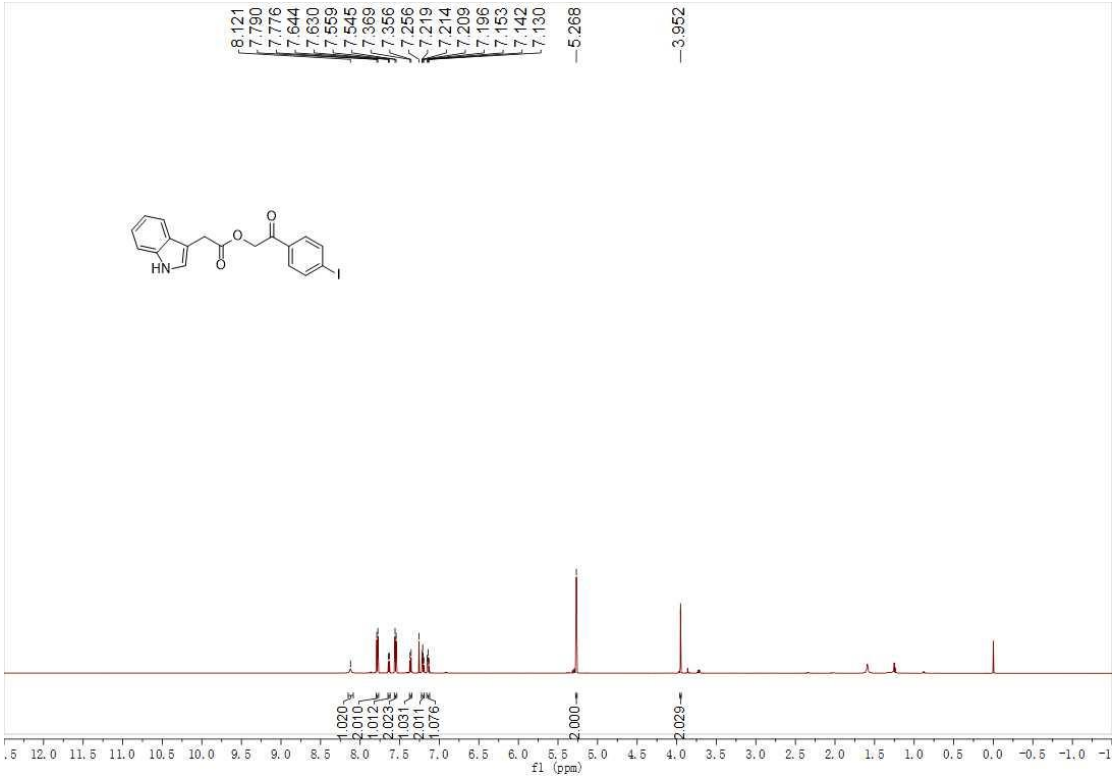
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **43**



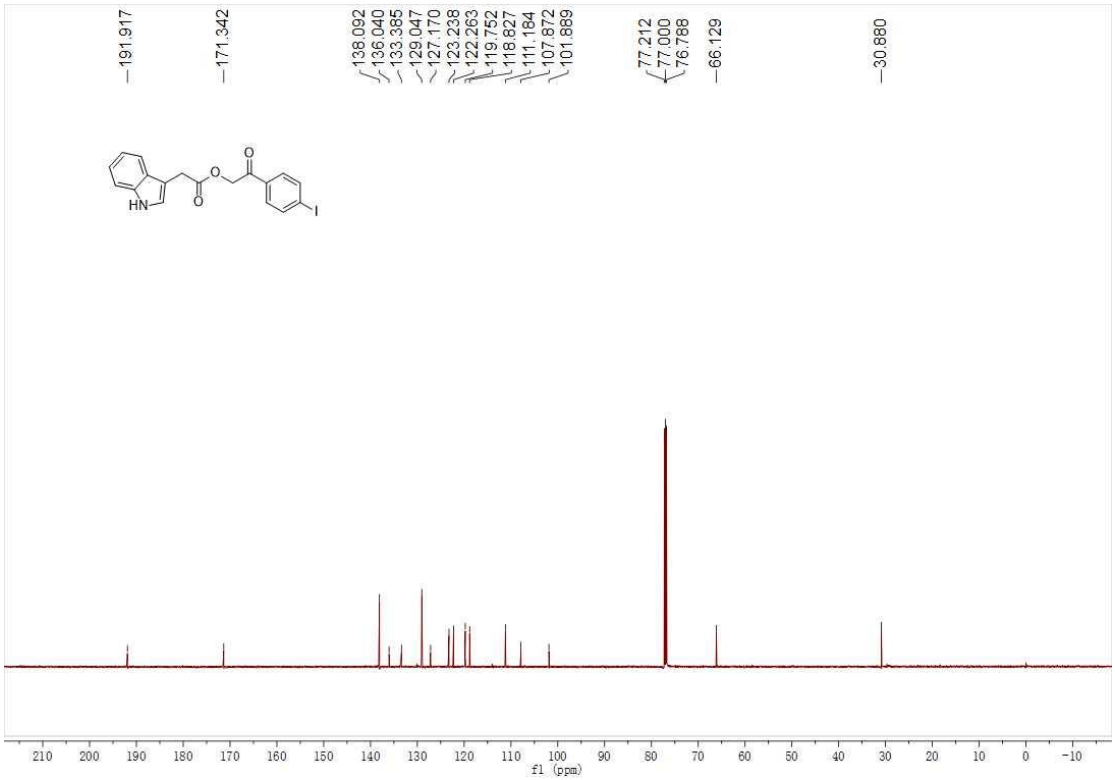
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **43**



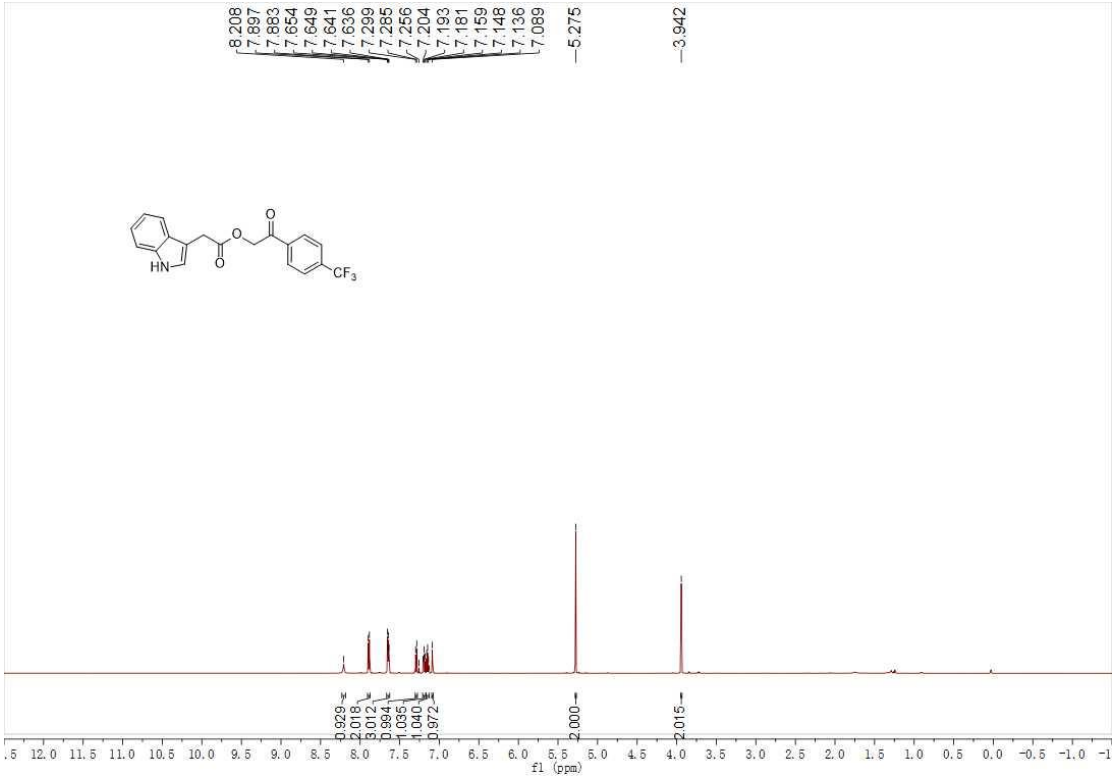
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **44**



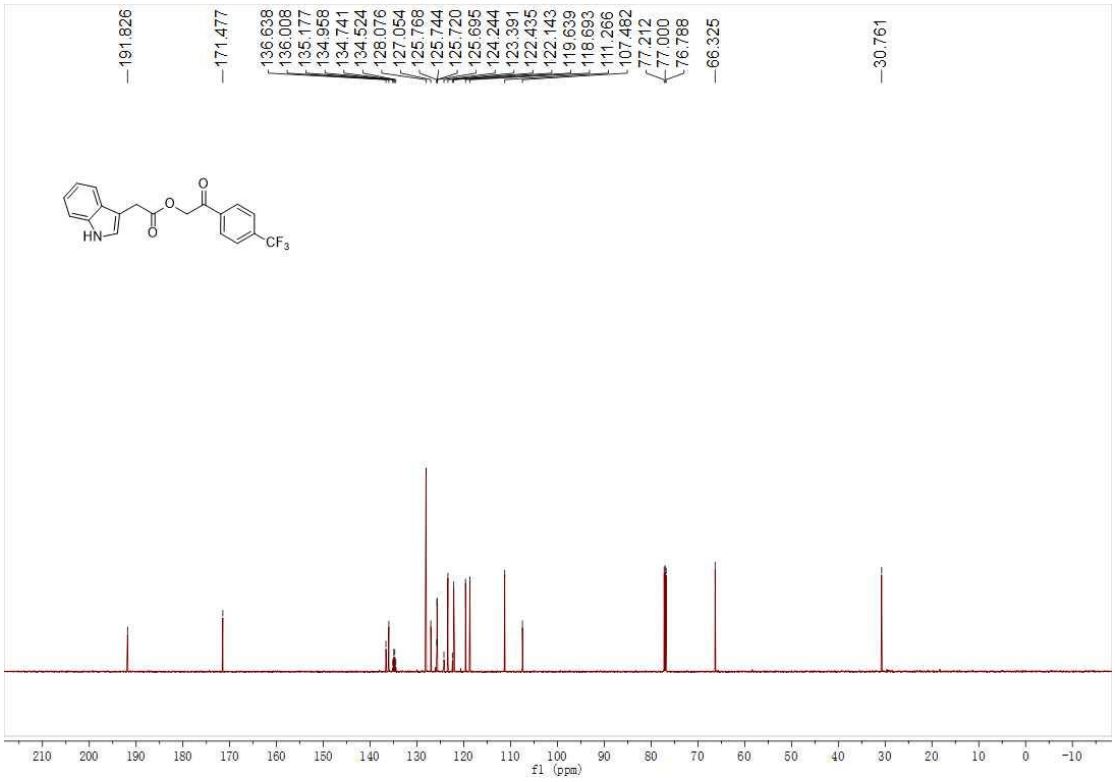
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **44**



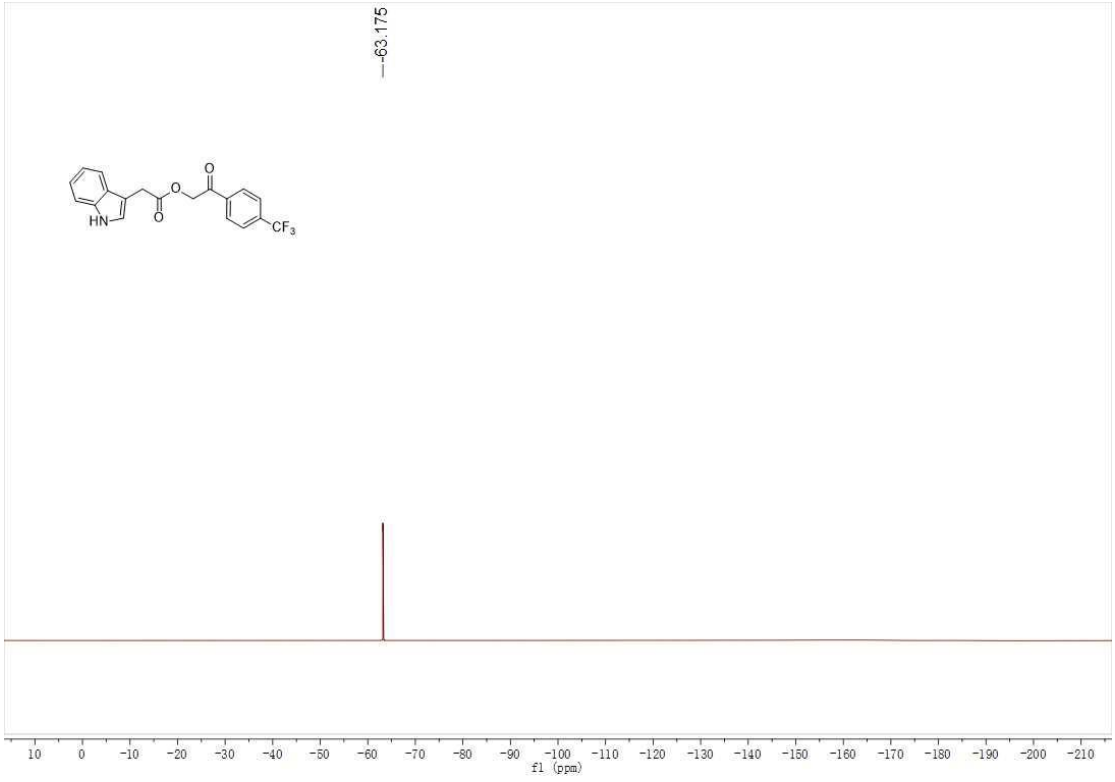
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **45**



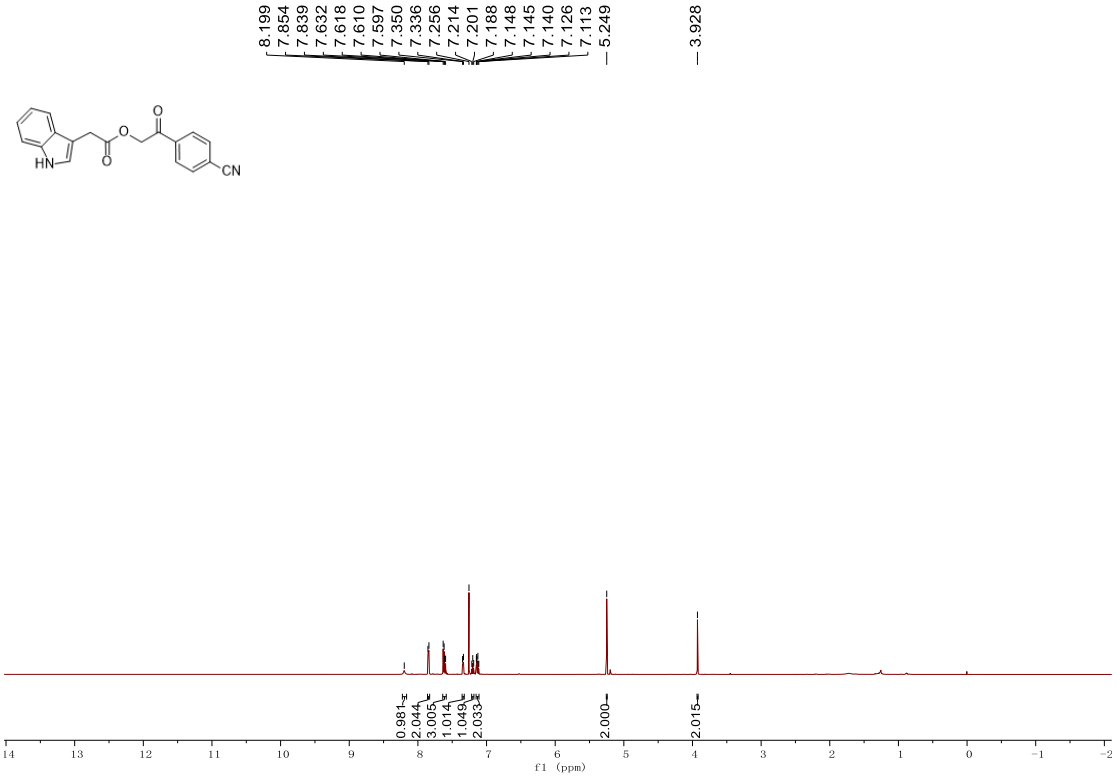
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **45**



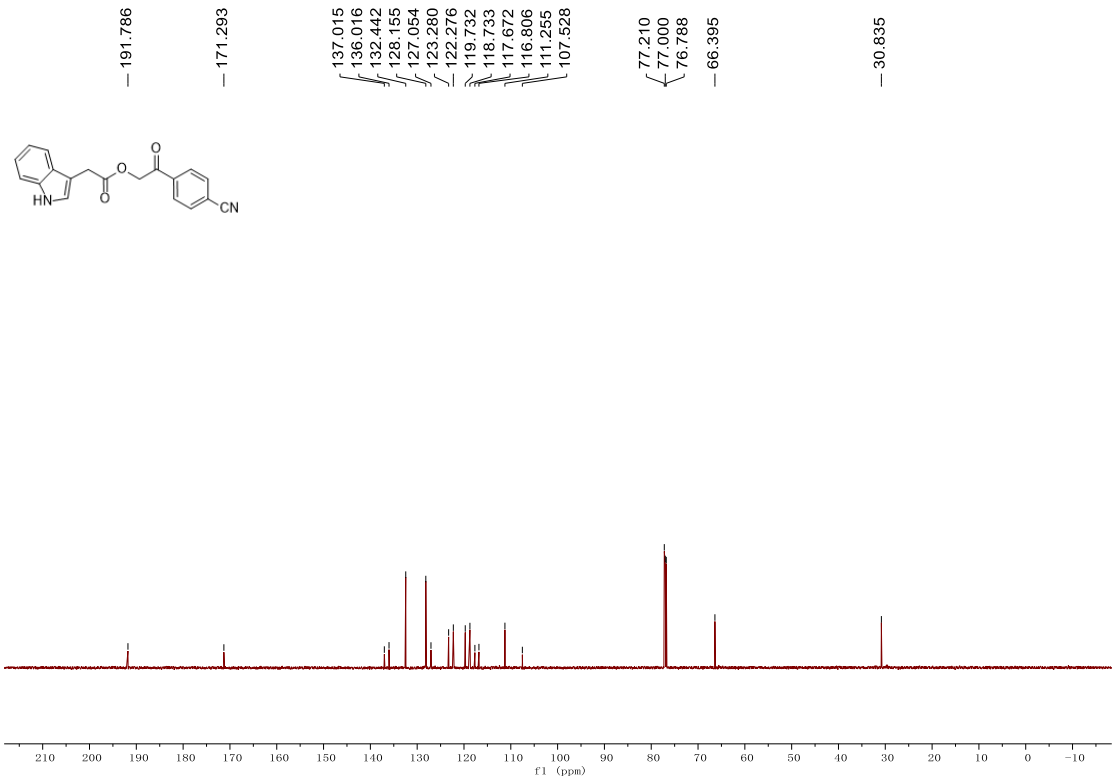
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **45**



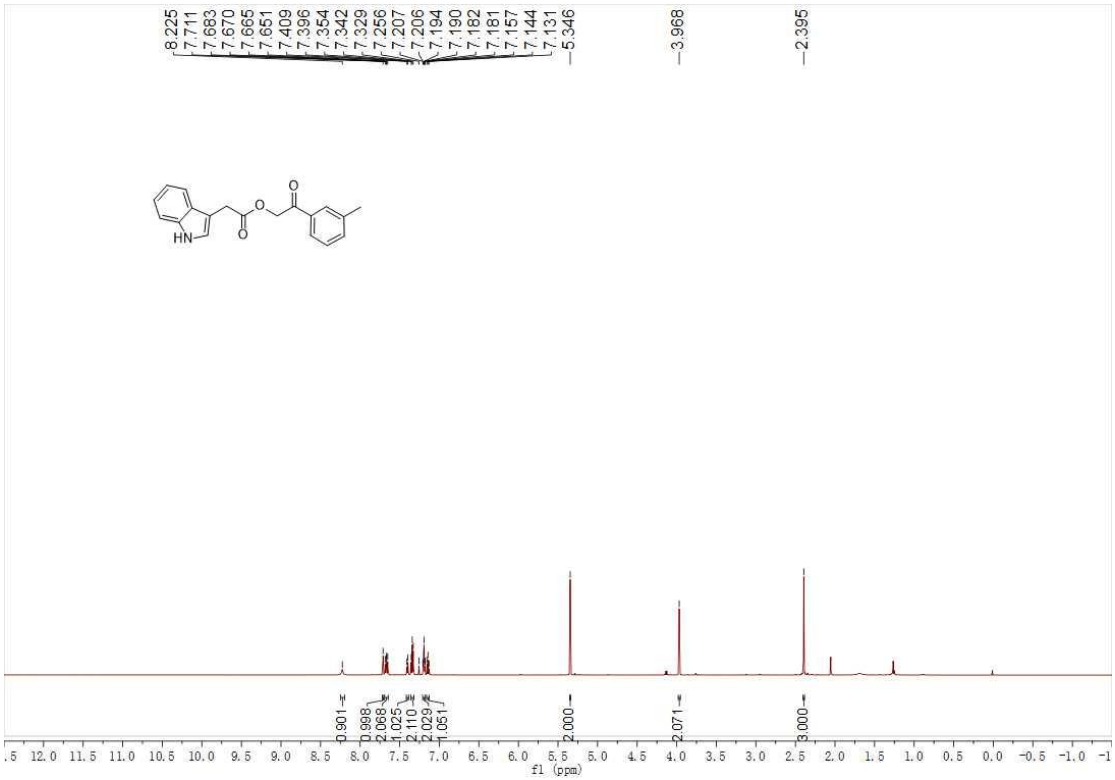
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **46**



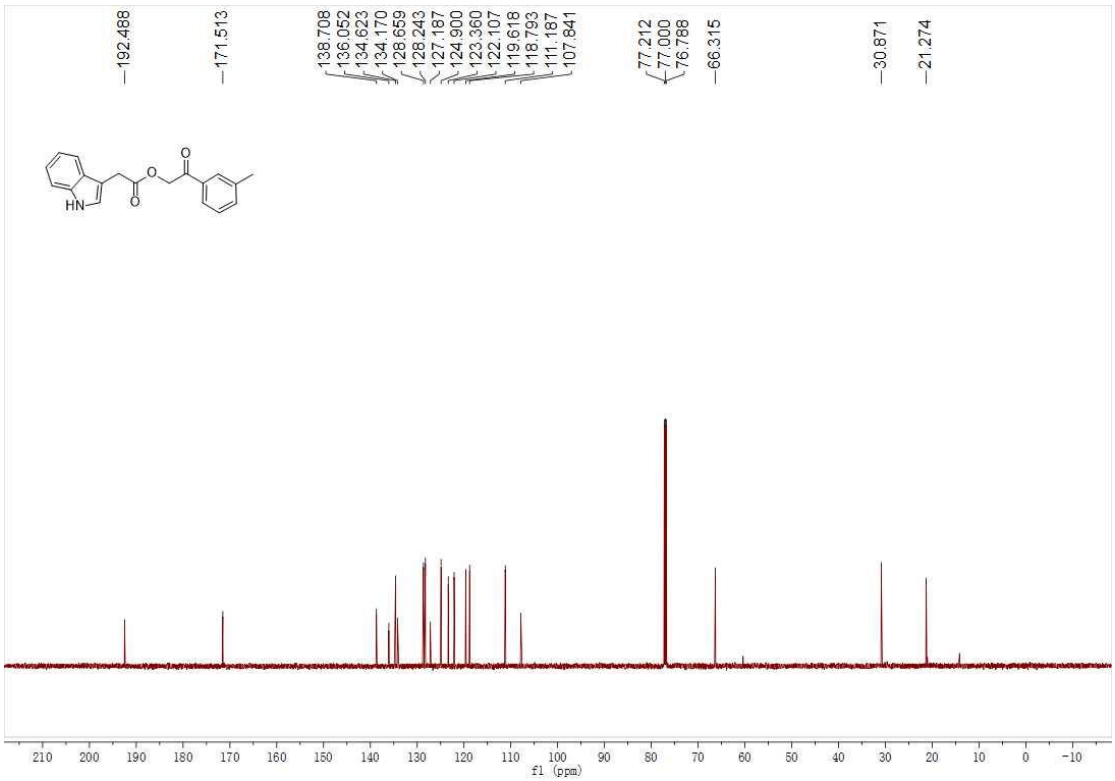
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **46**



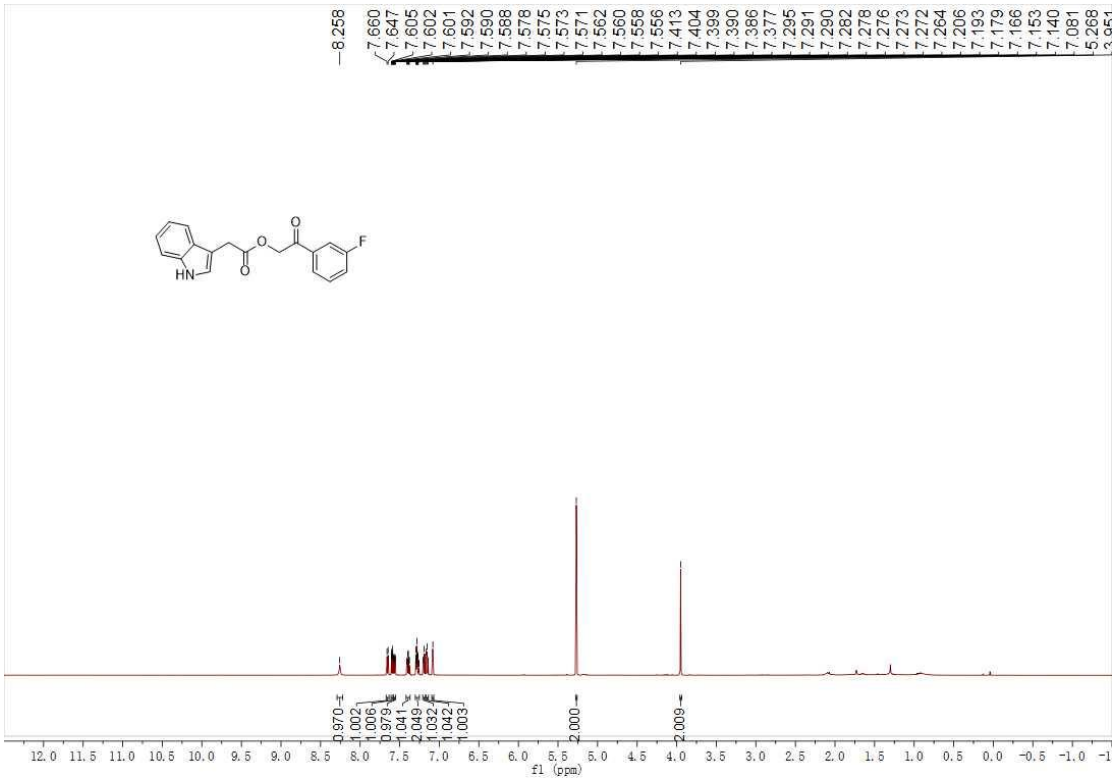
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **47**



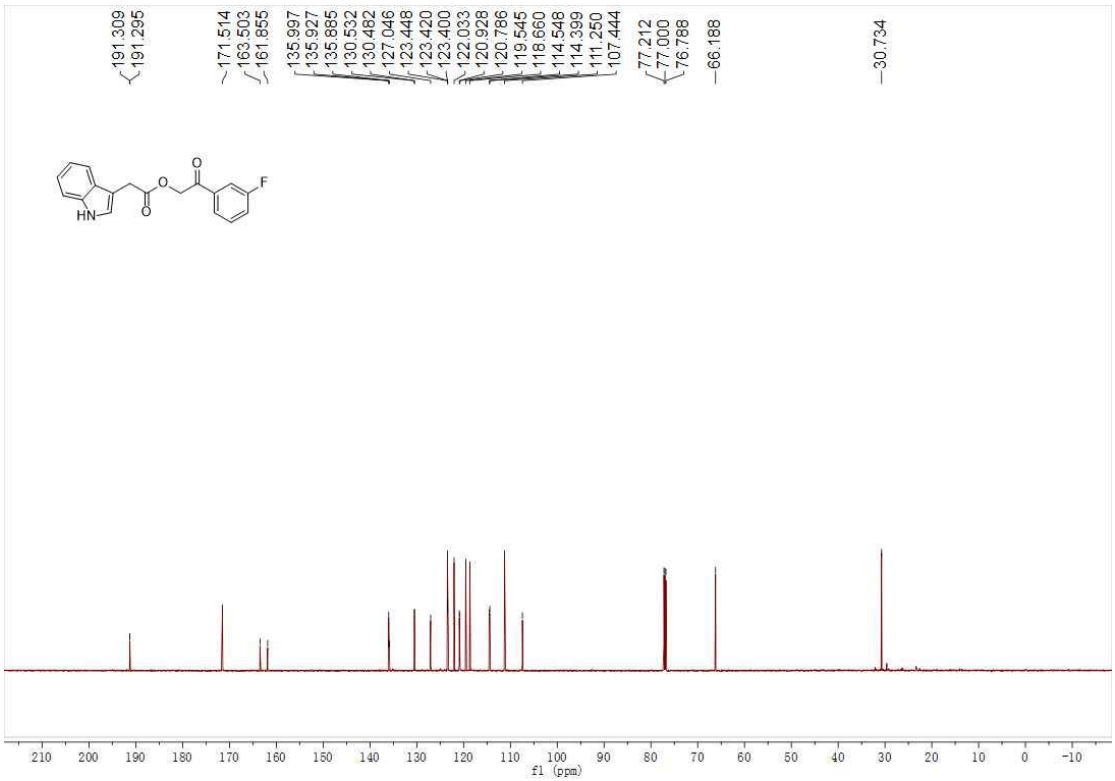
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **47**



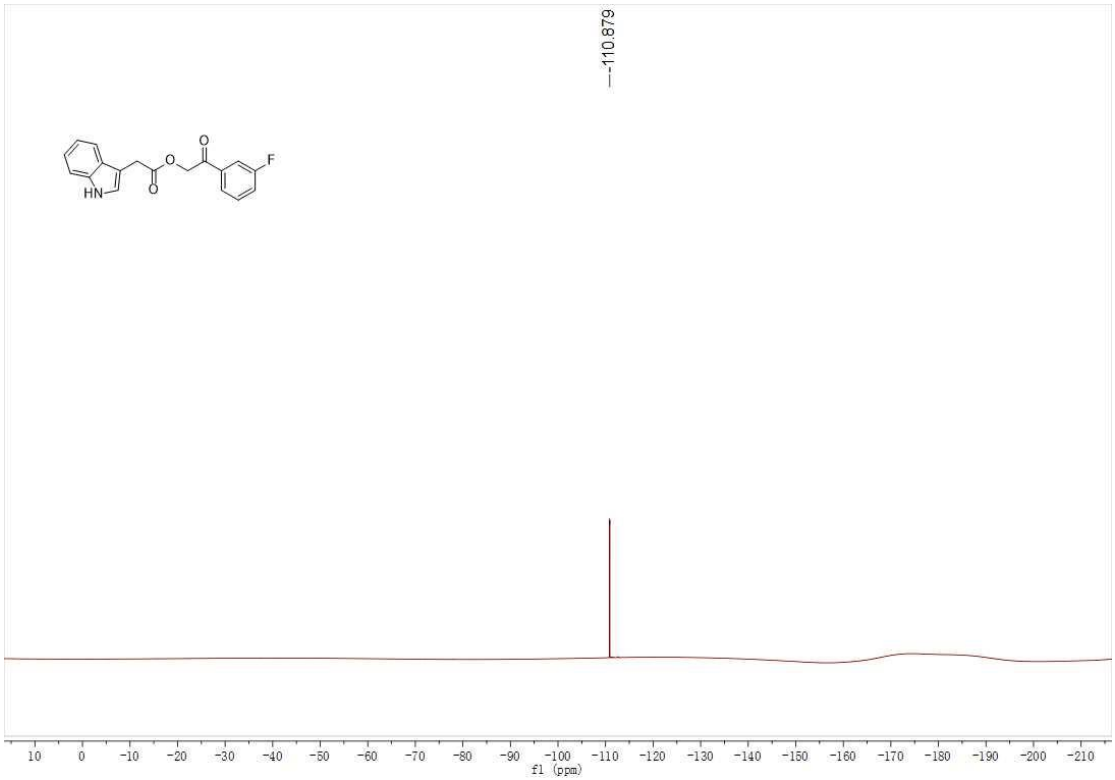
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **48**



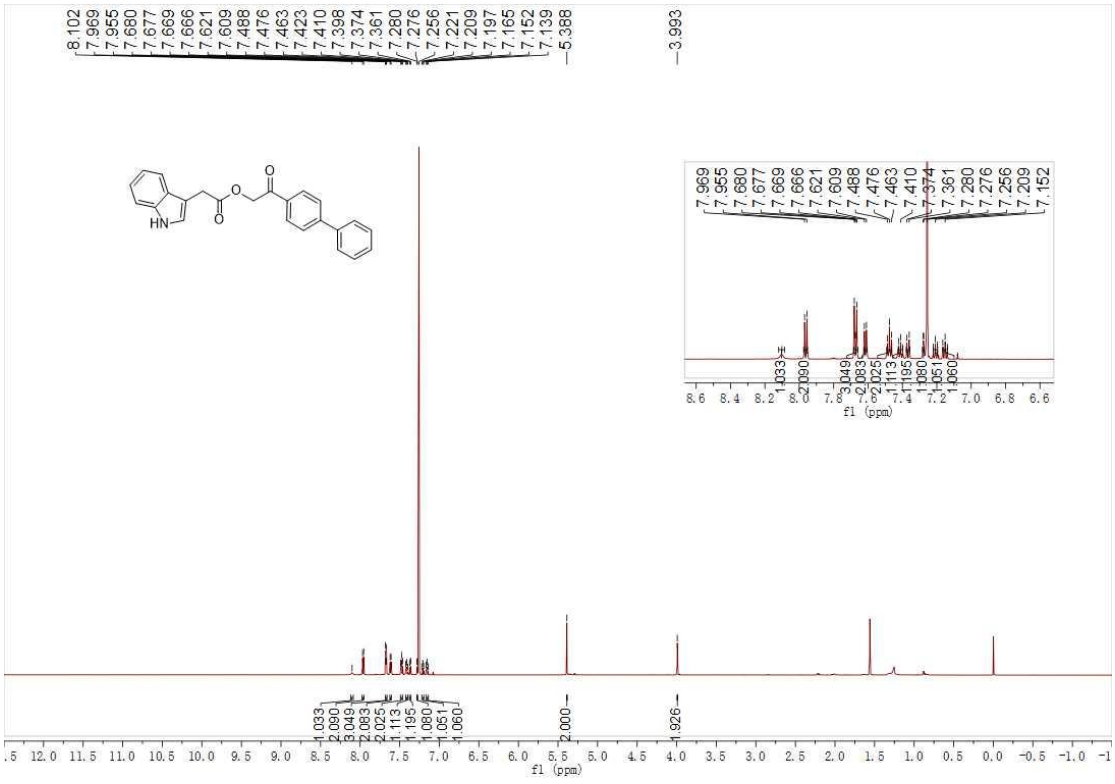
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **48**



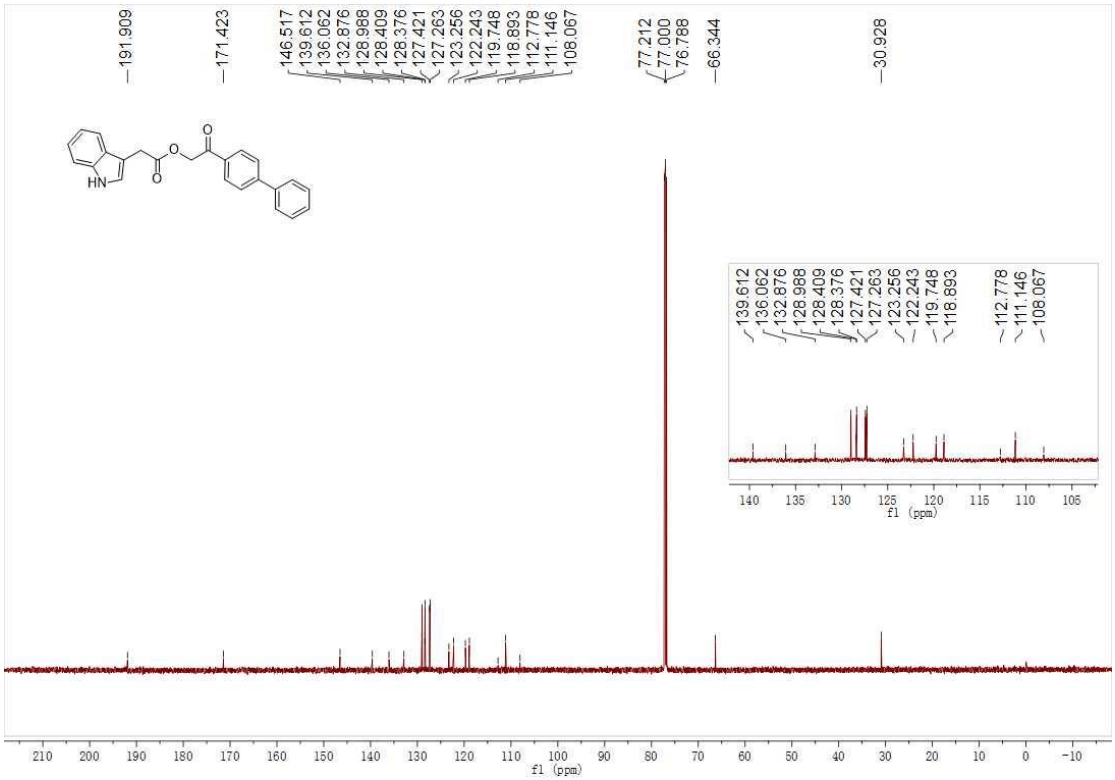
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **48**



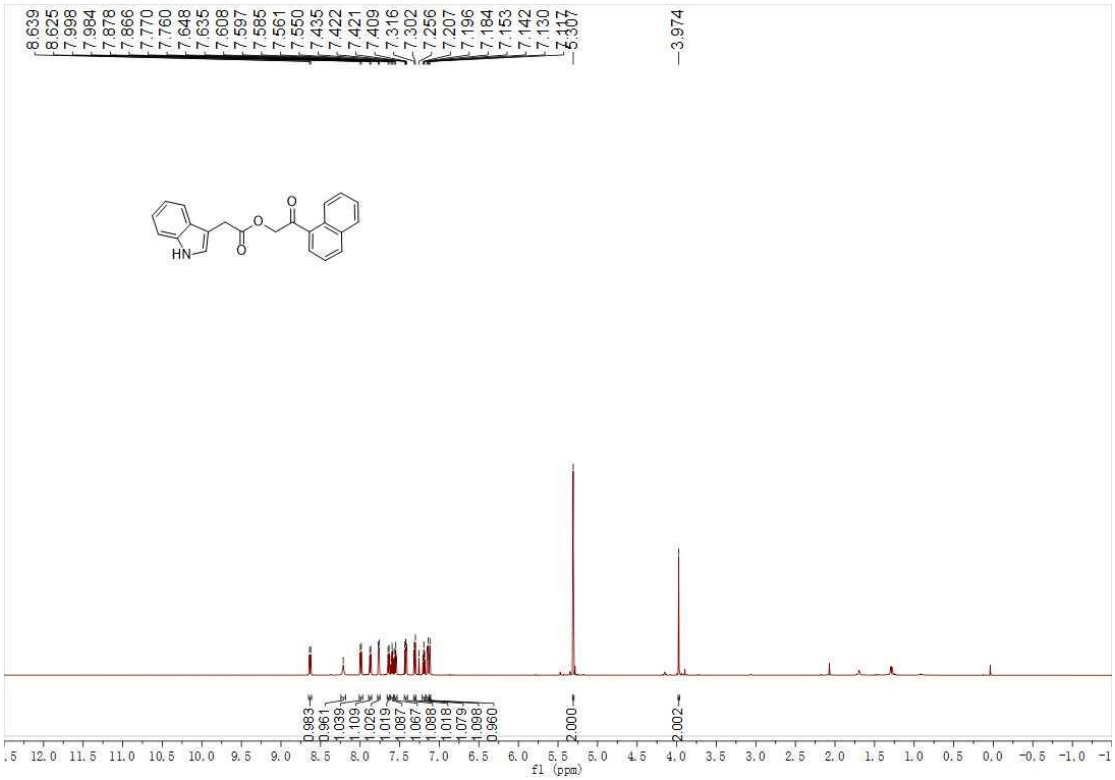
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **49**



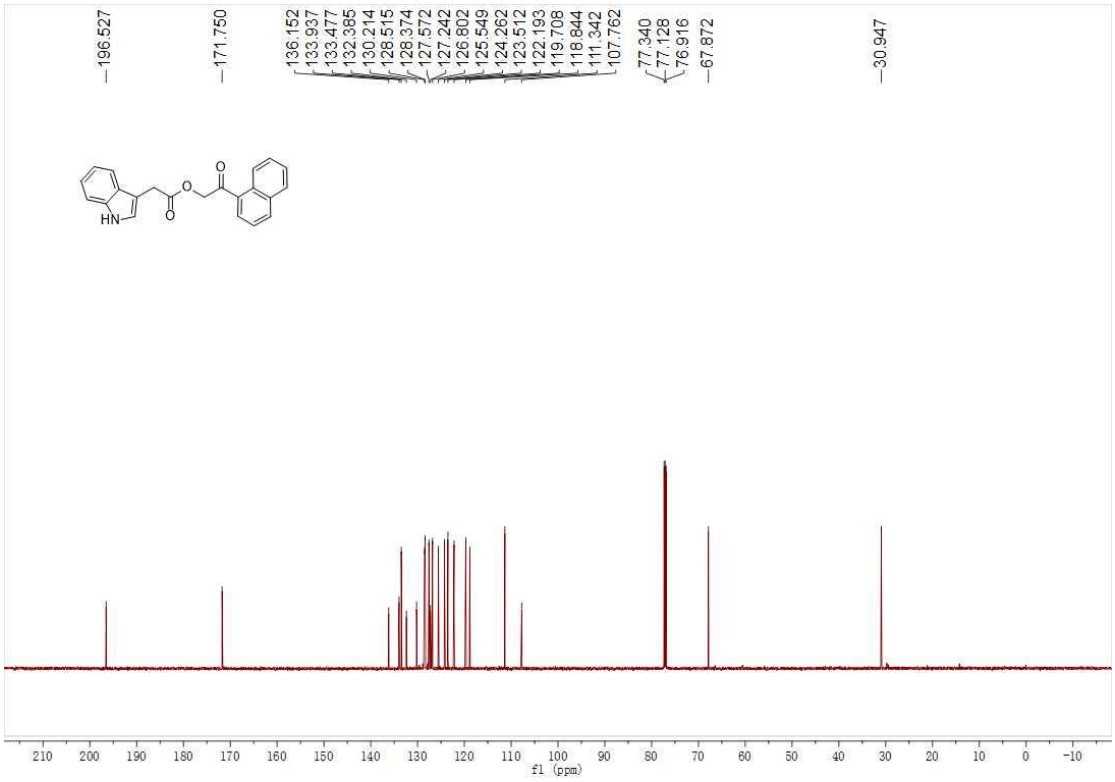
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **49**



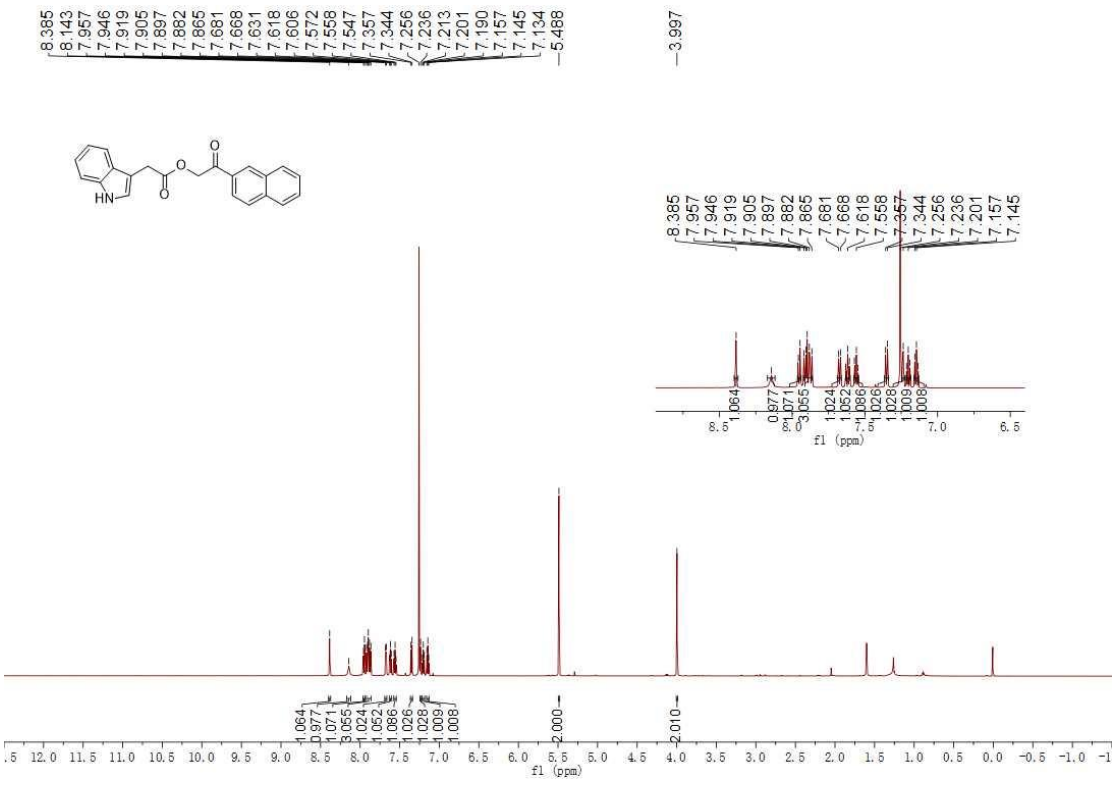
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **50**



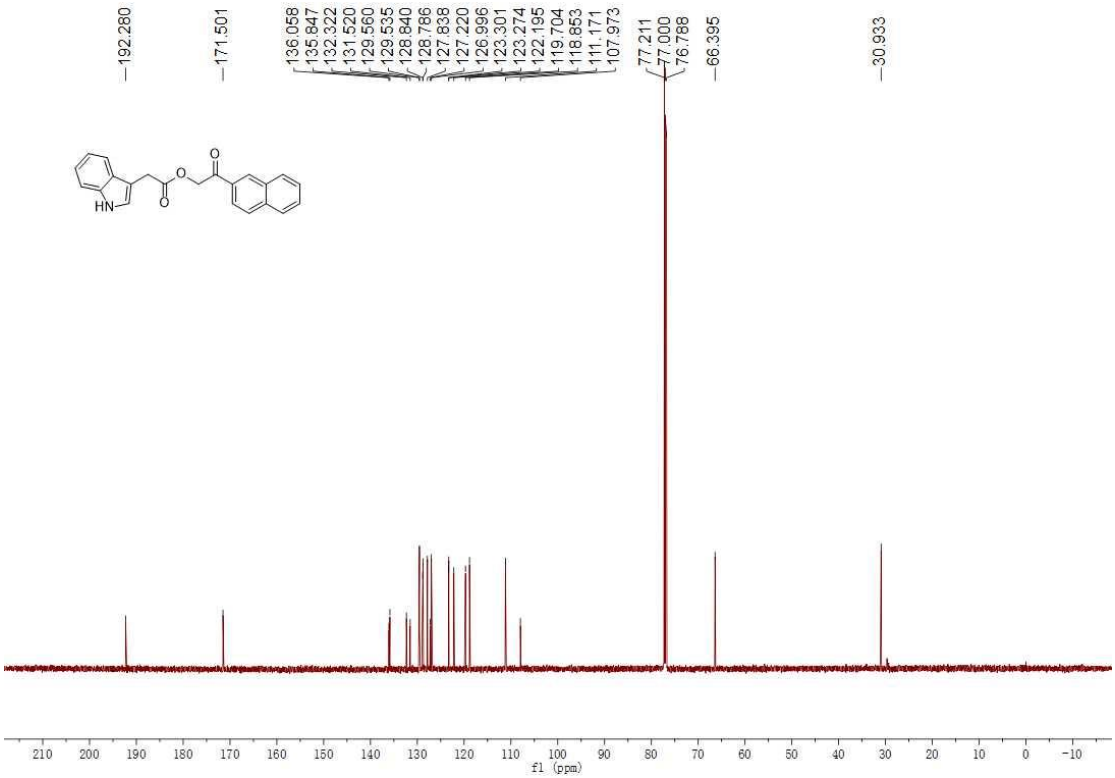
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **50**



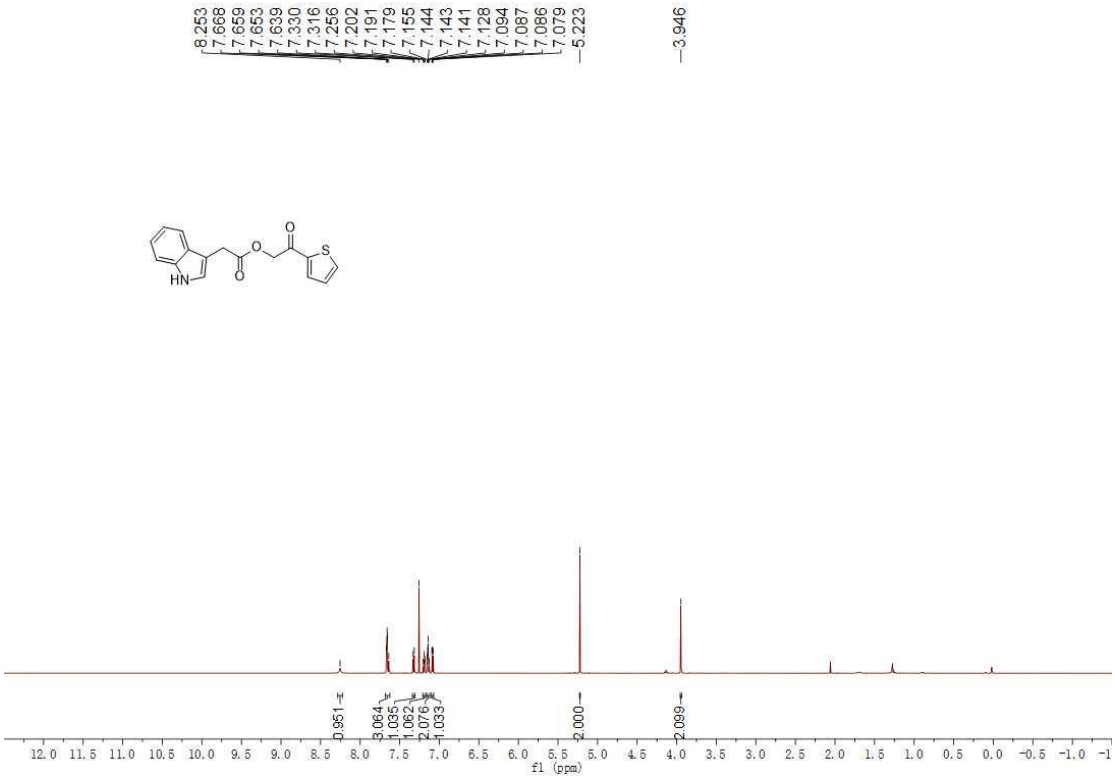
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **51**



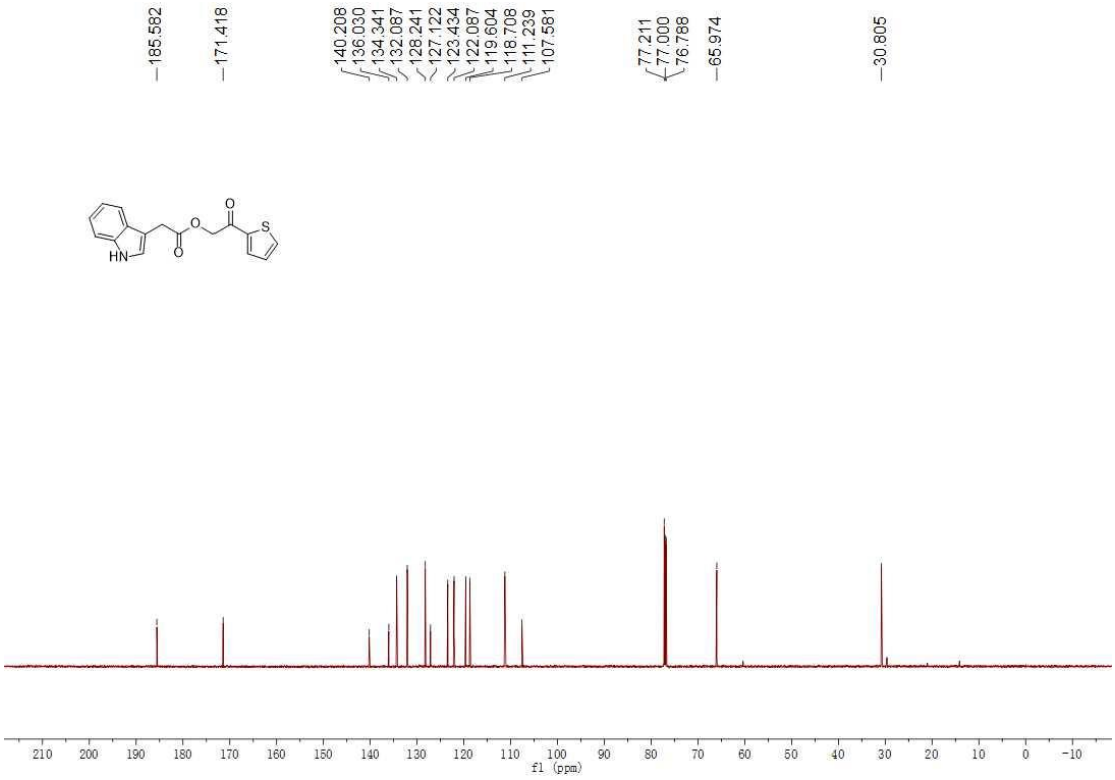
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **51**



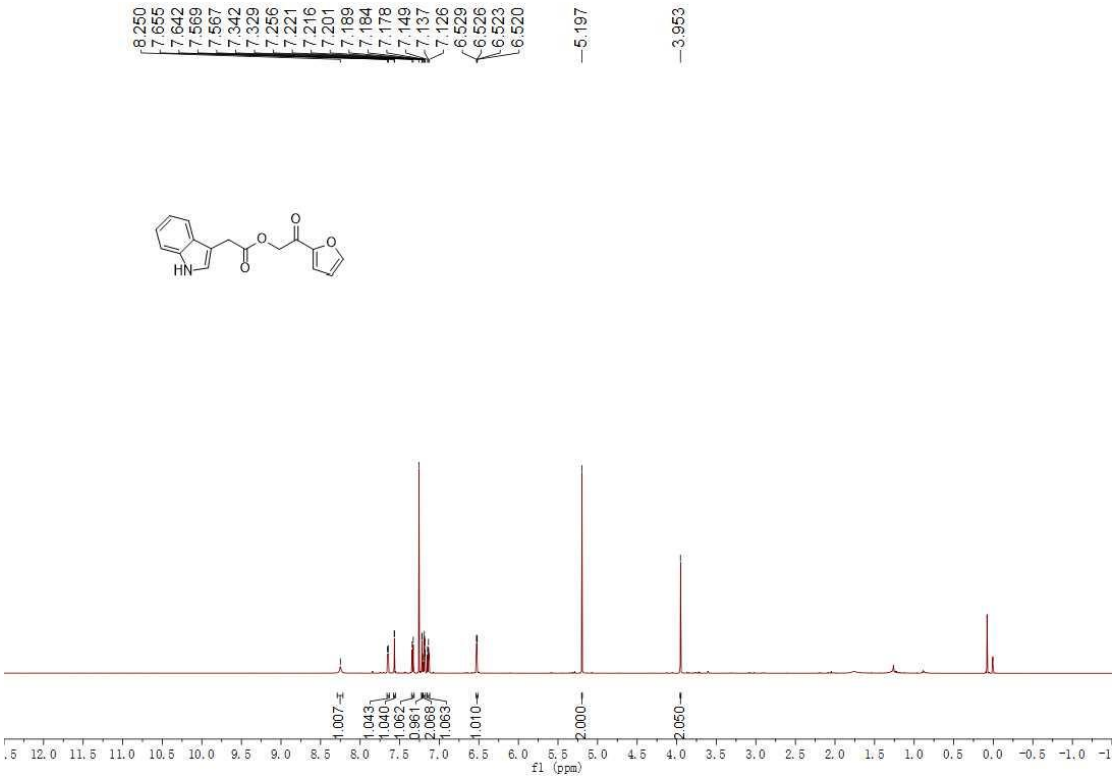
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **52**



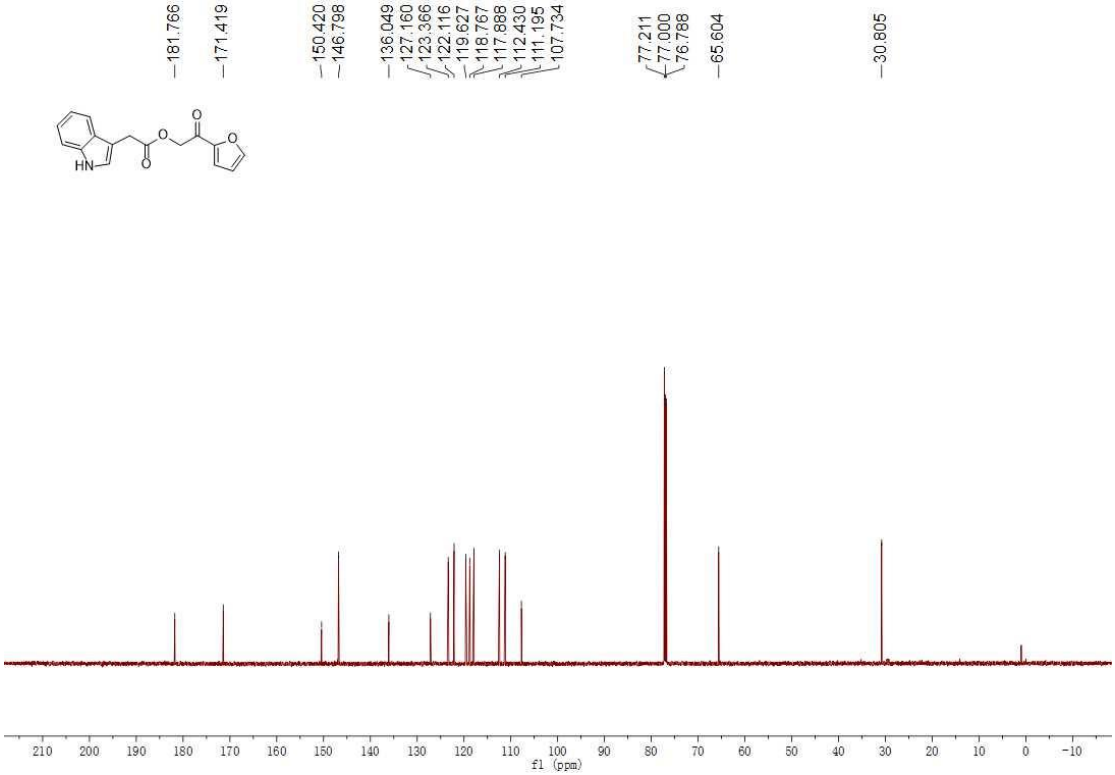
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **52**



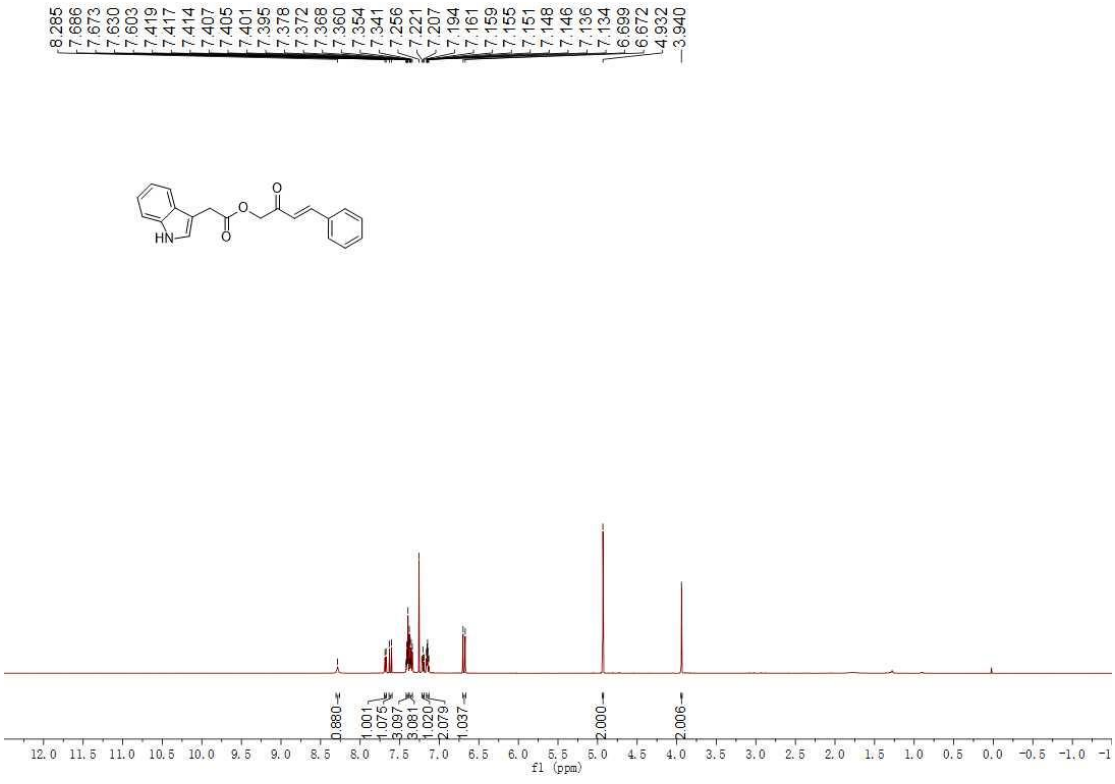
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **53**



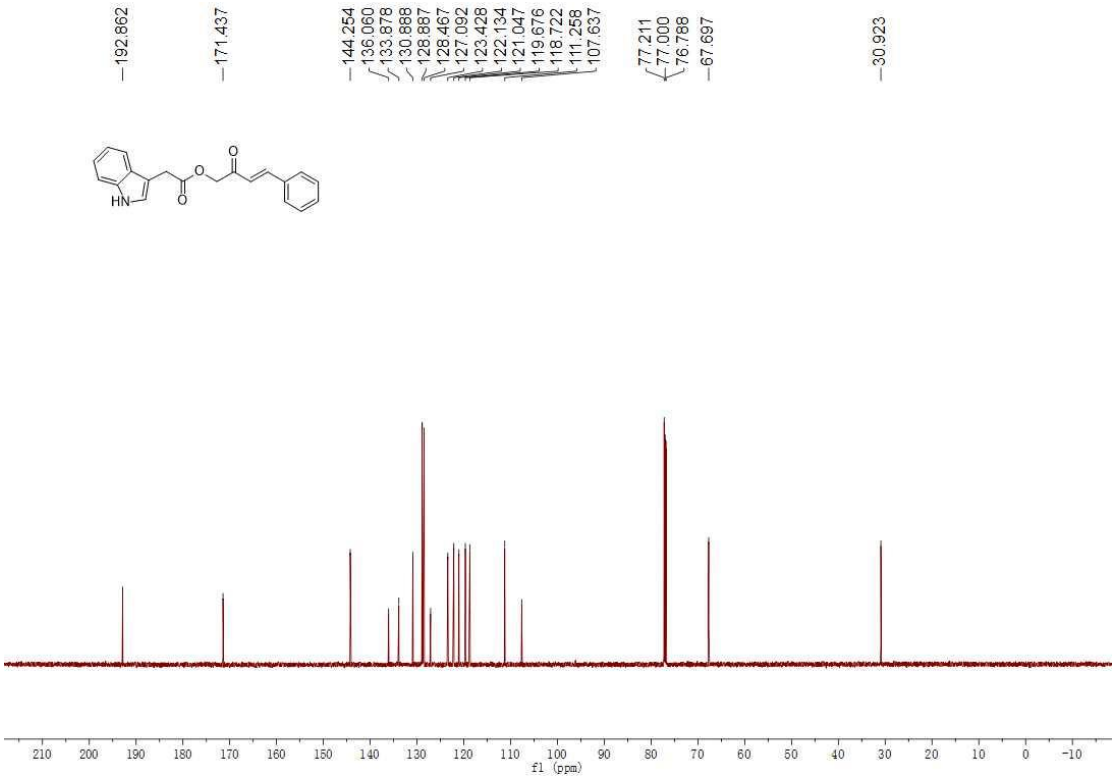
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **53**



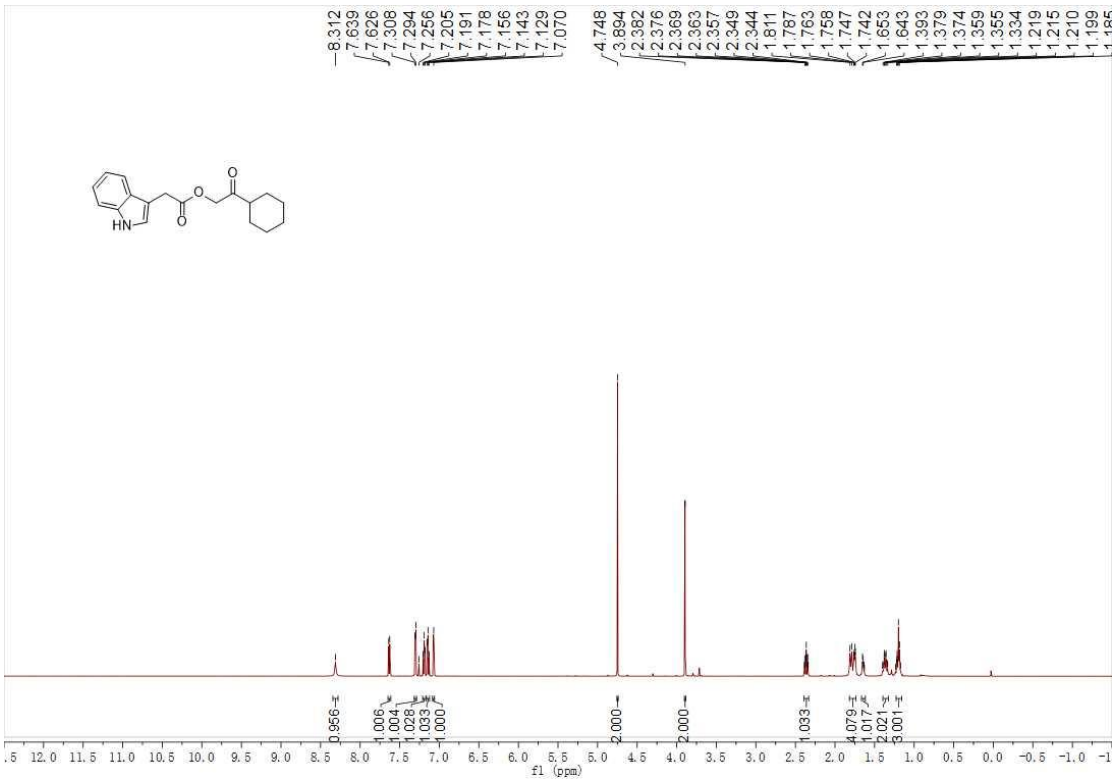
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **54**



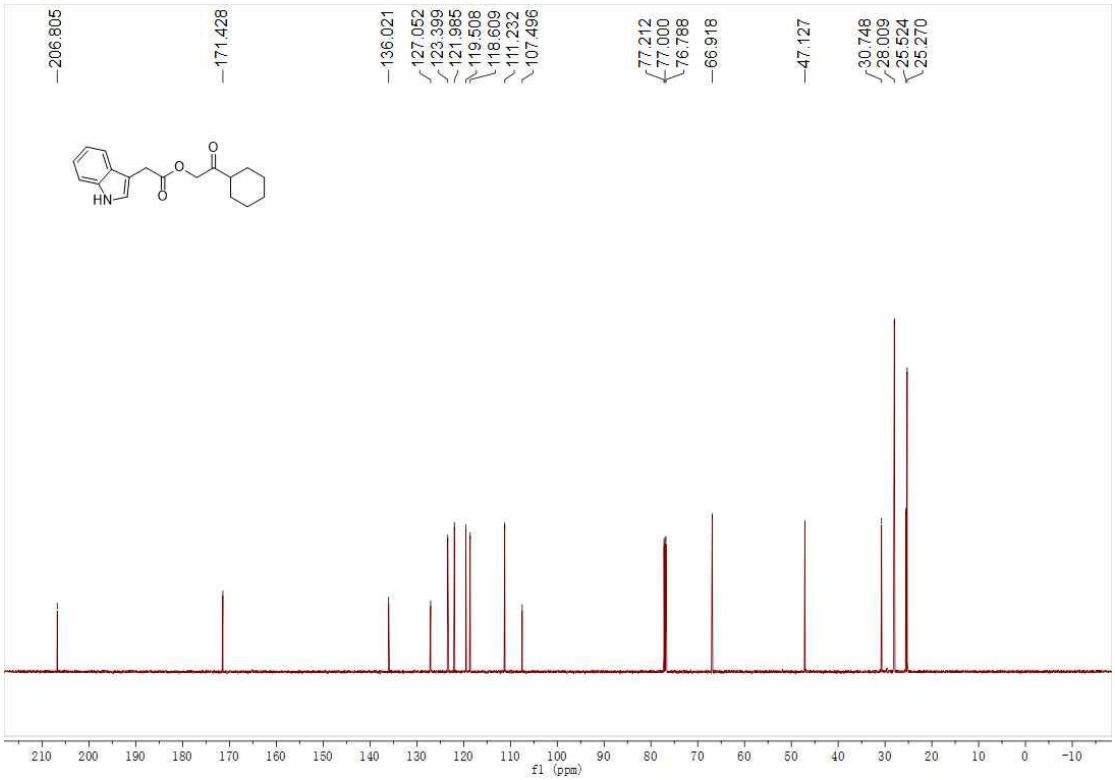
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **54**



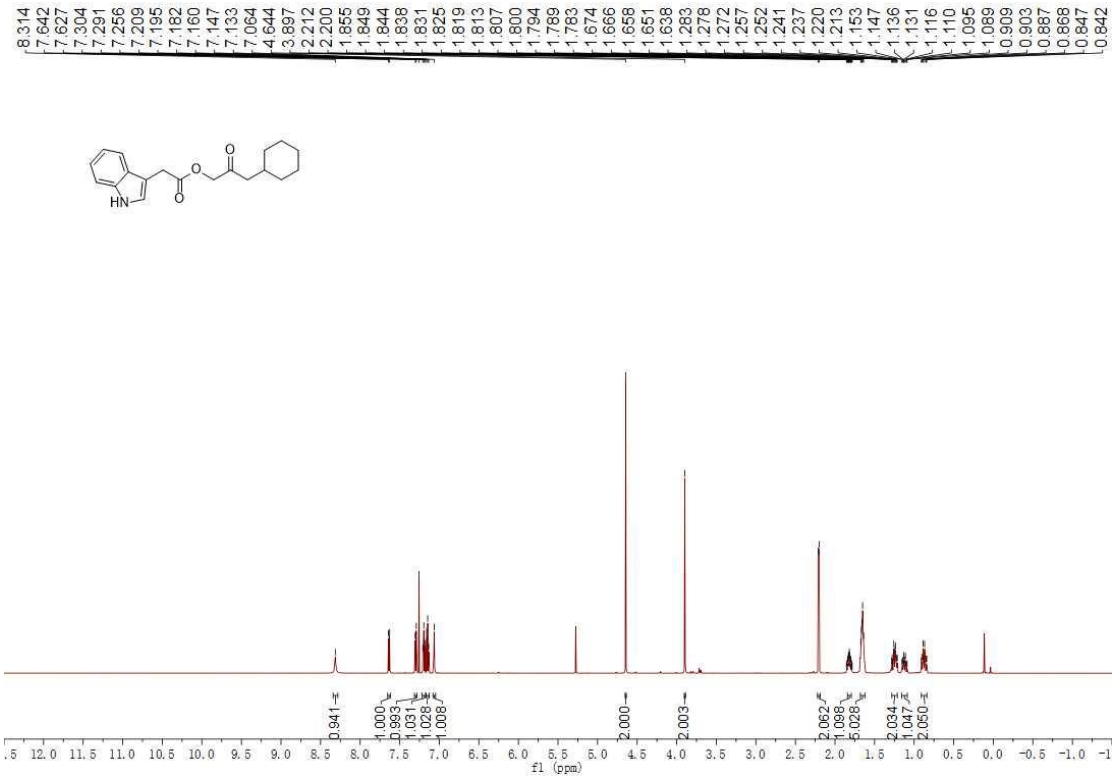
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **55**



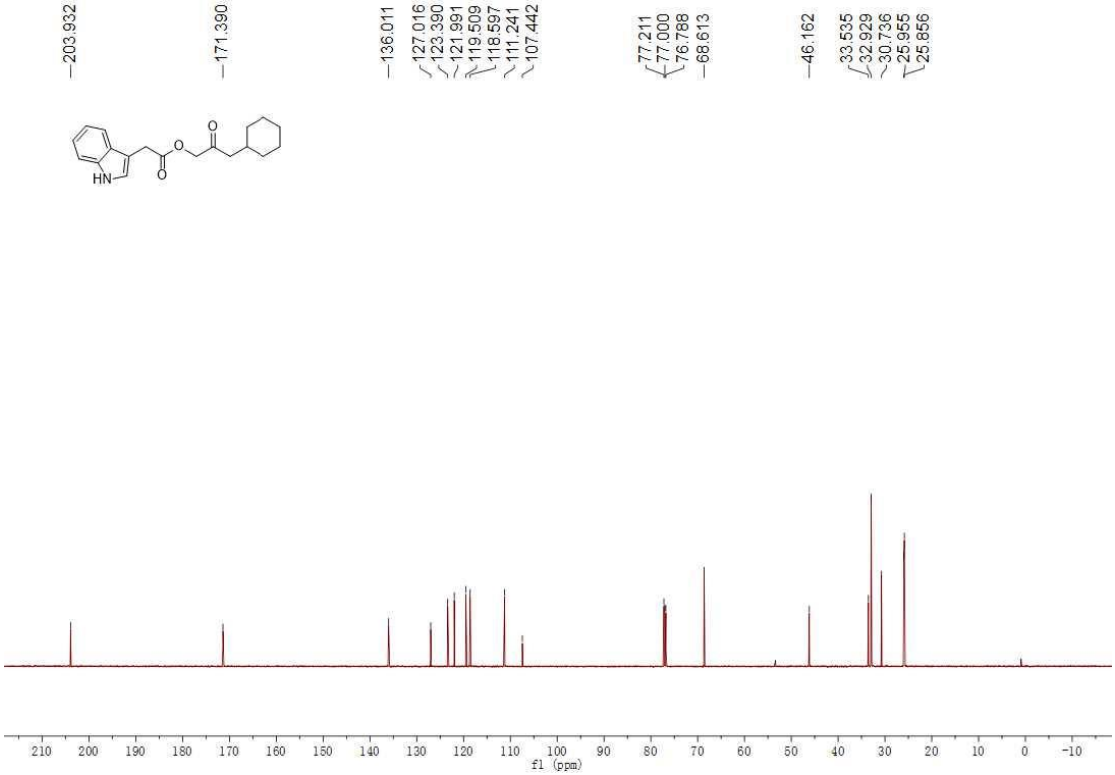
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **55**



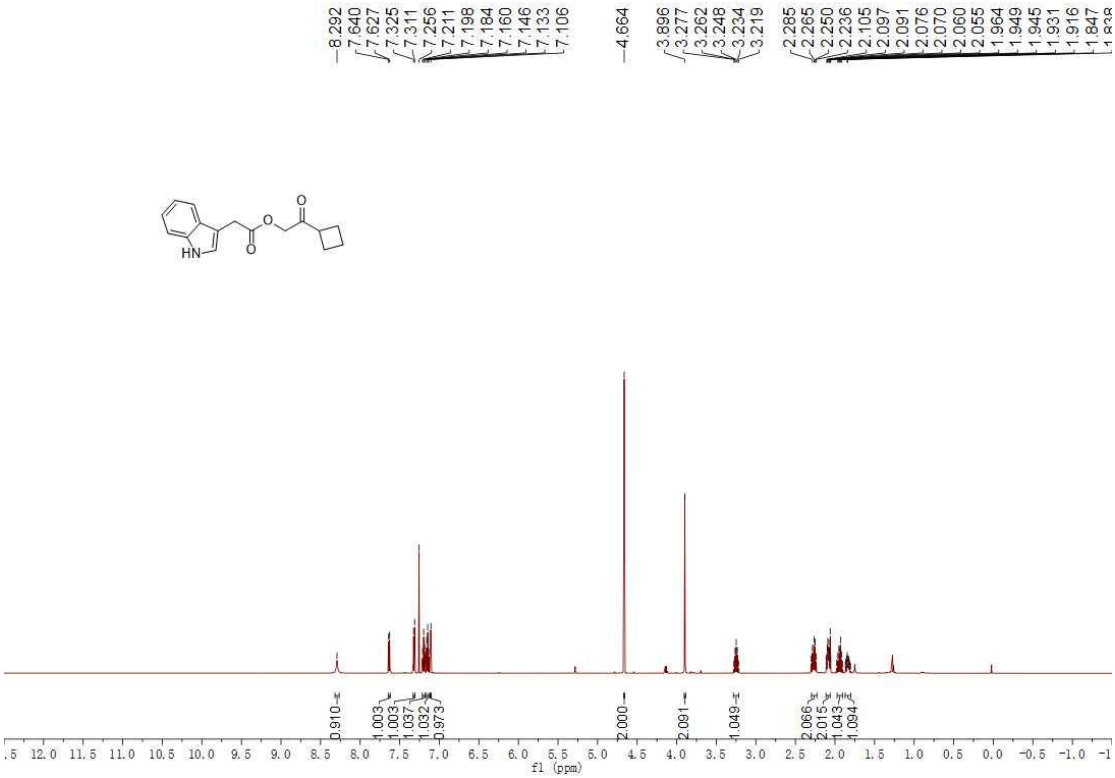
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **56**



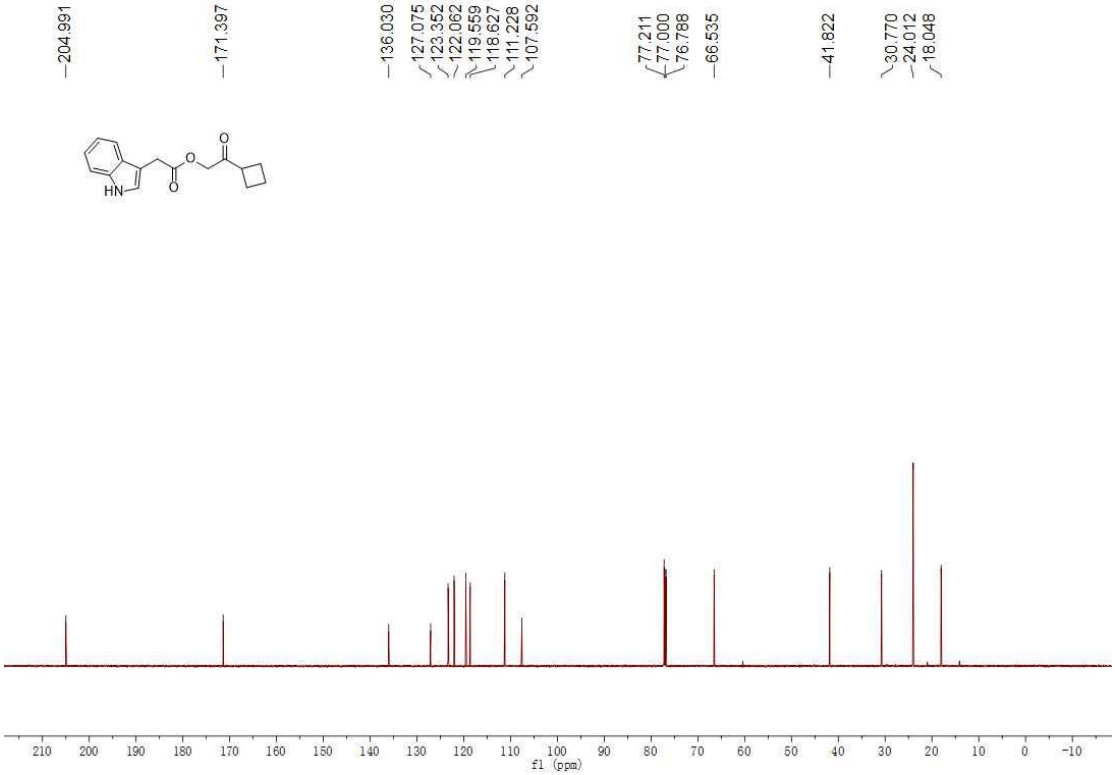
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **56**



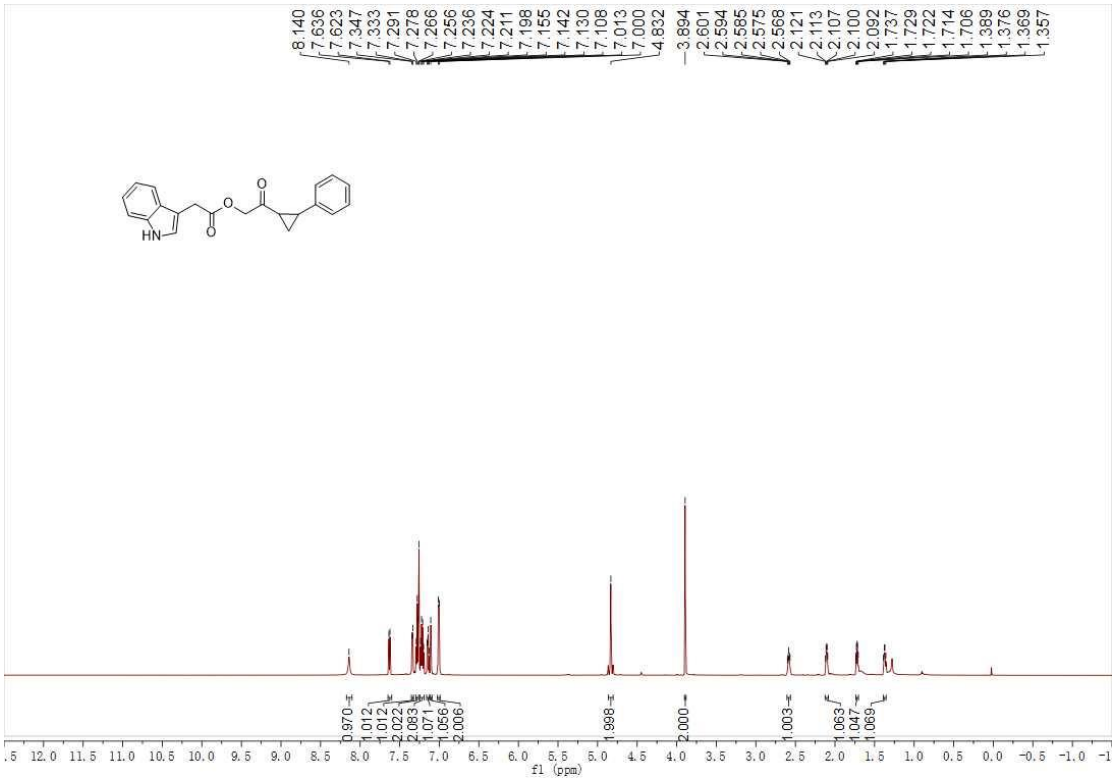
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **57**



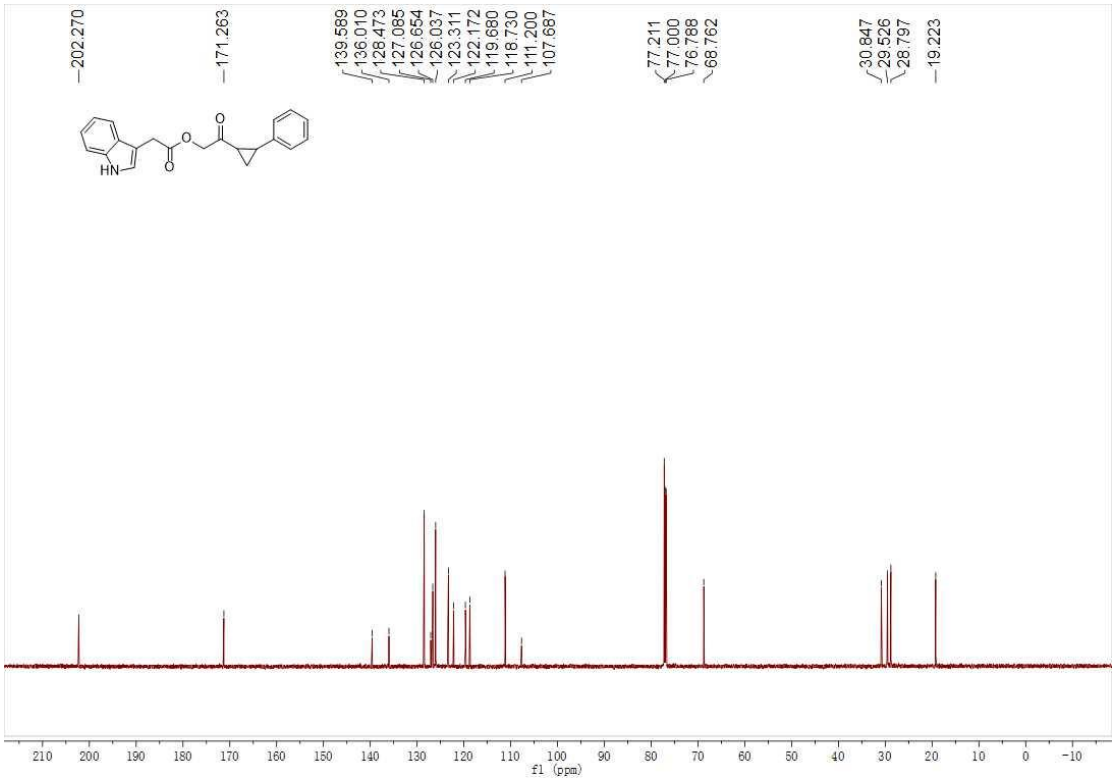
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **57**



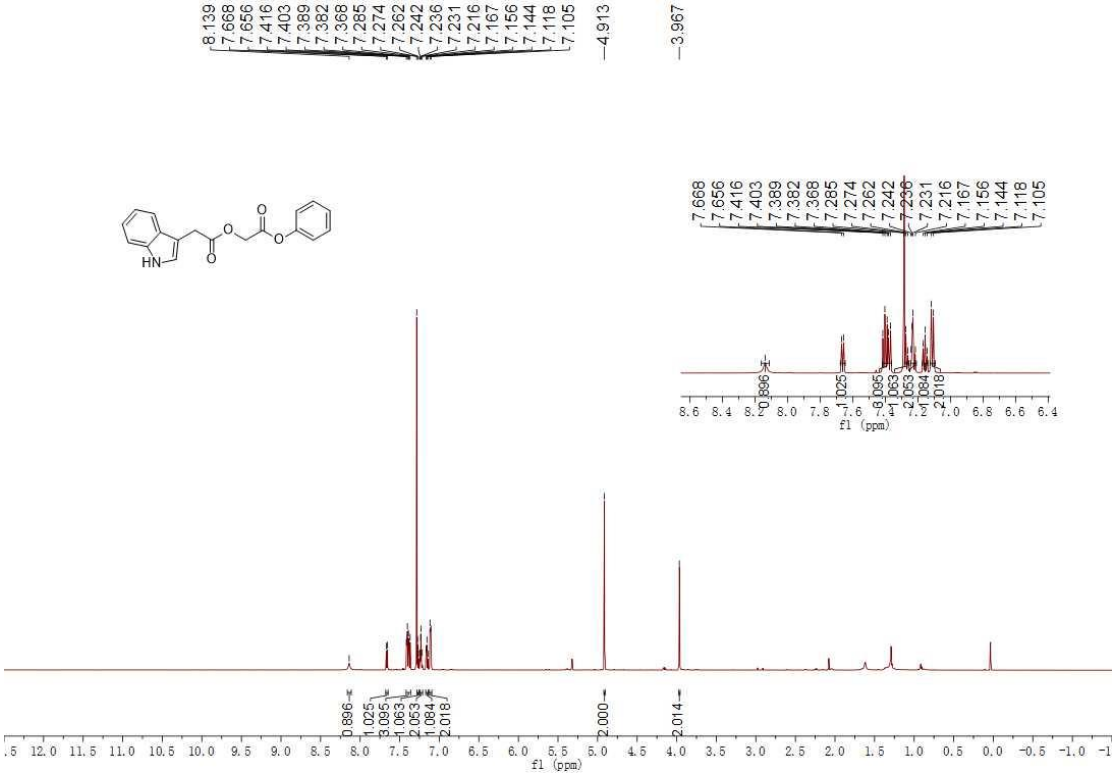
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **58**



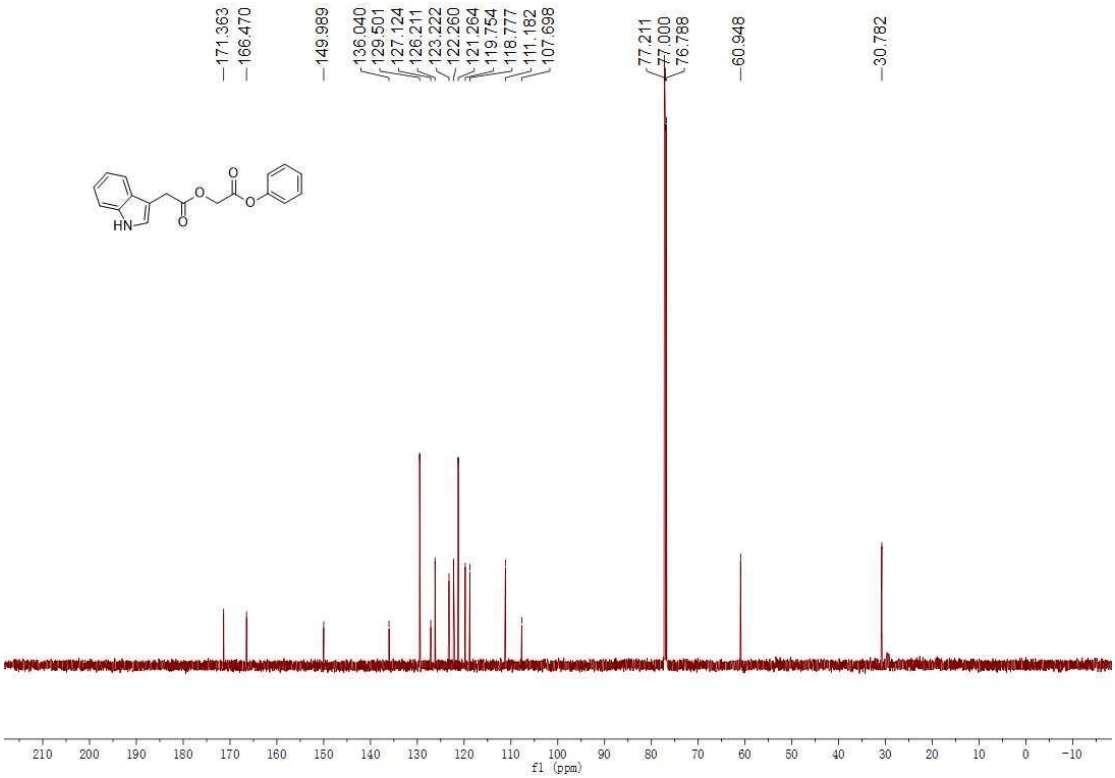
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **58**



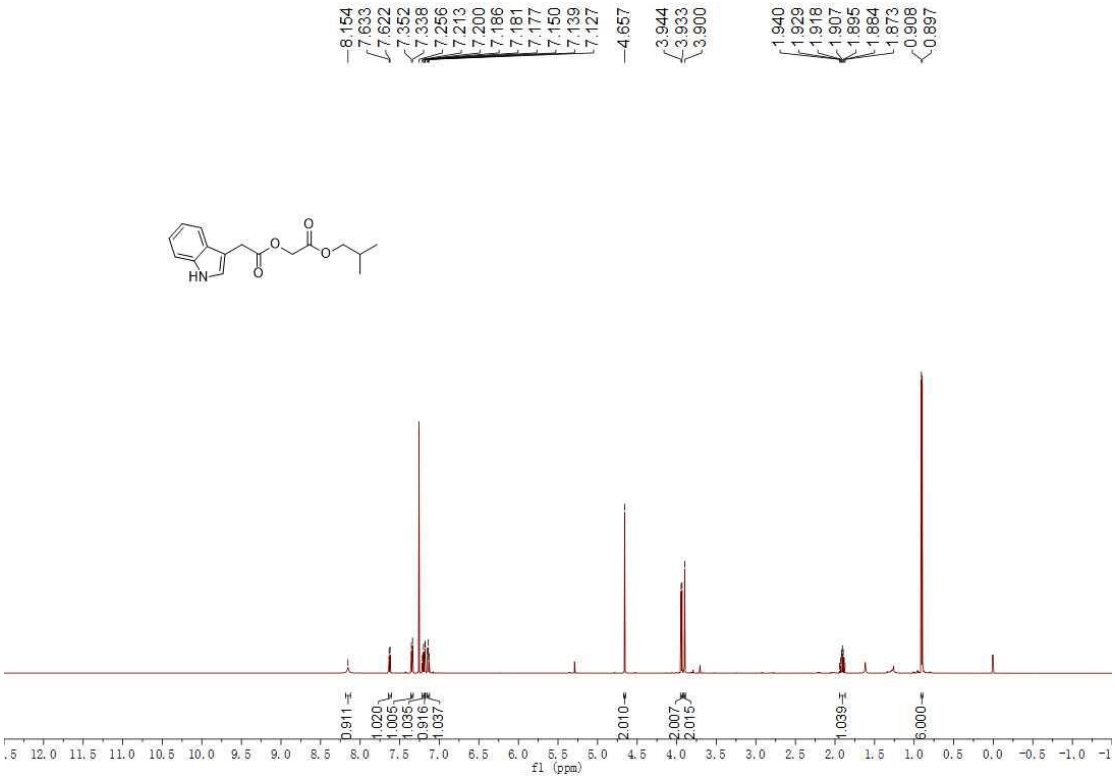
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **59**



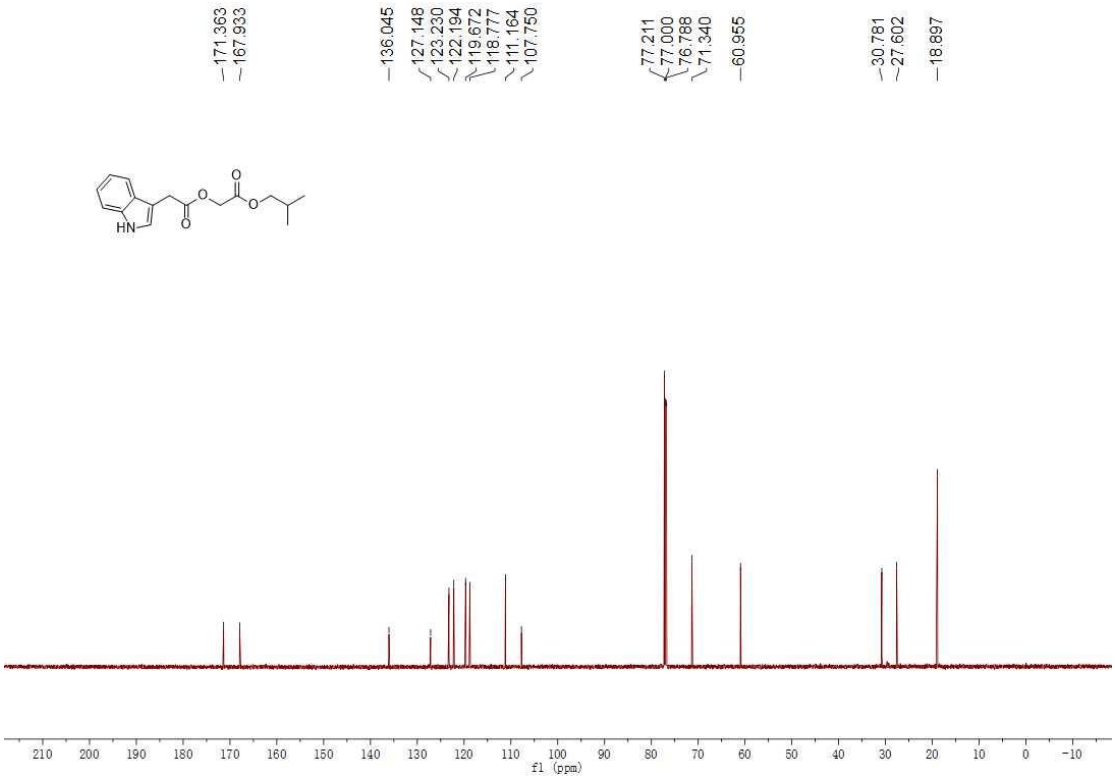
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **59**



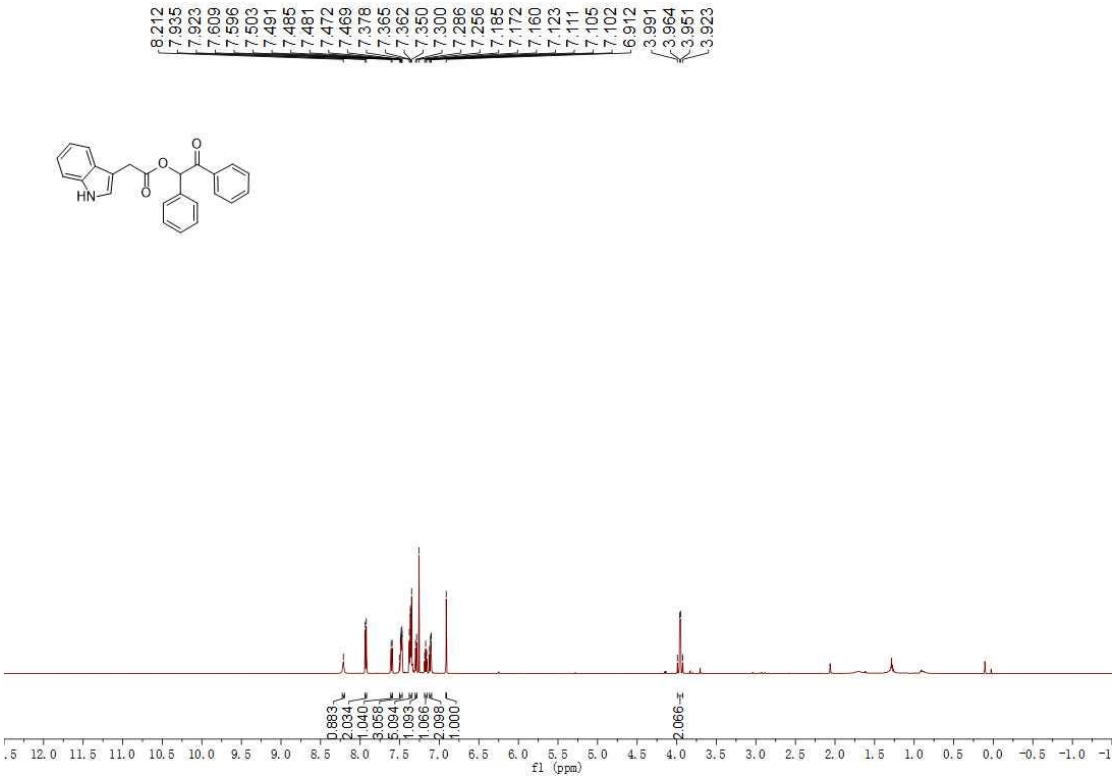
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **60**



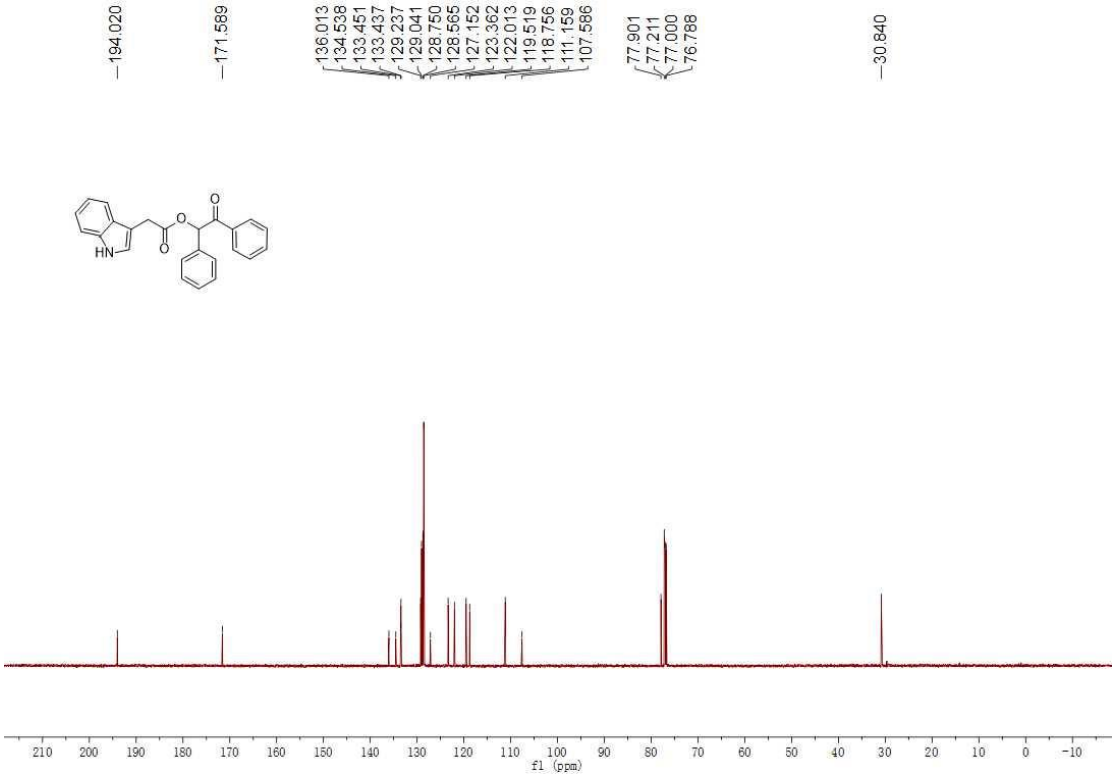
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **60**



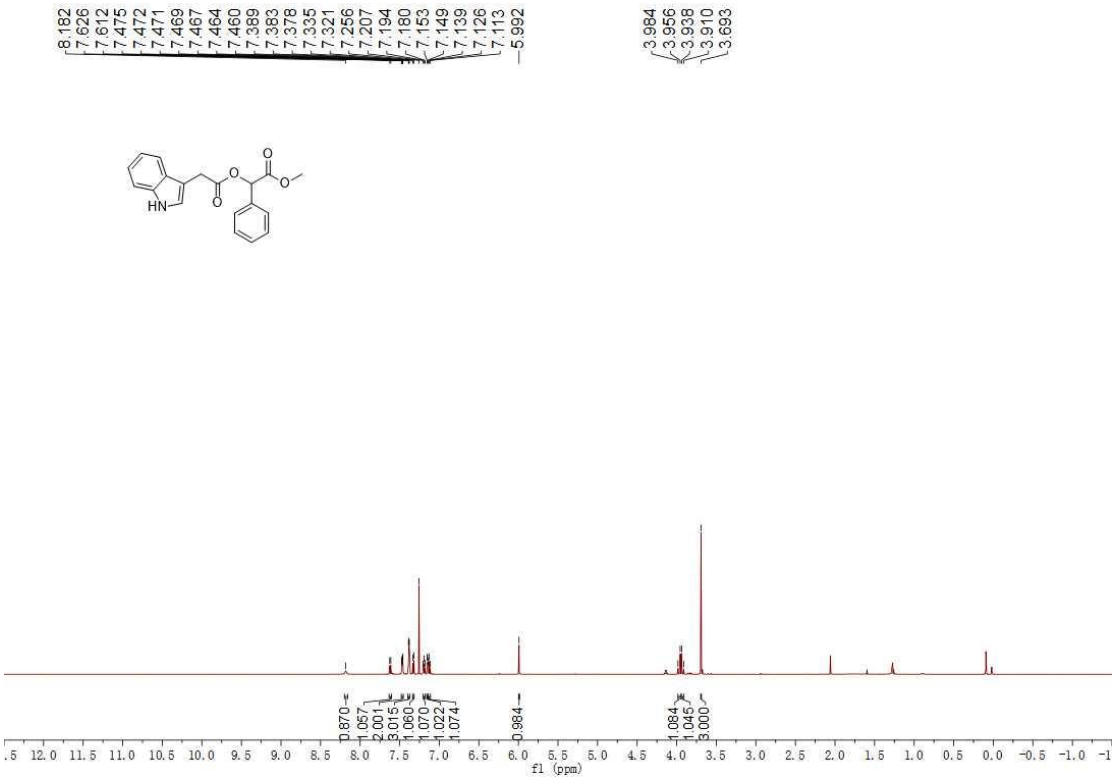
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **61**



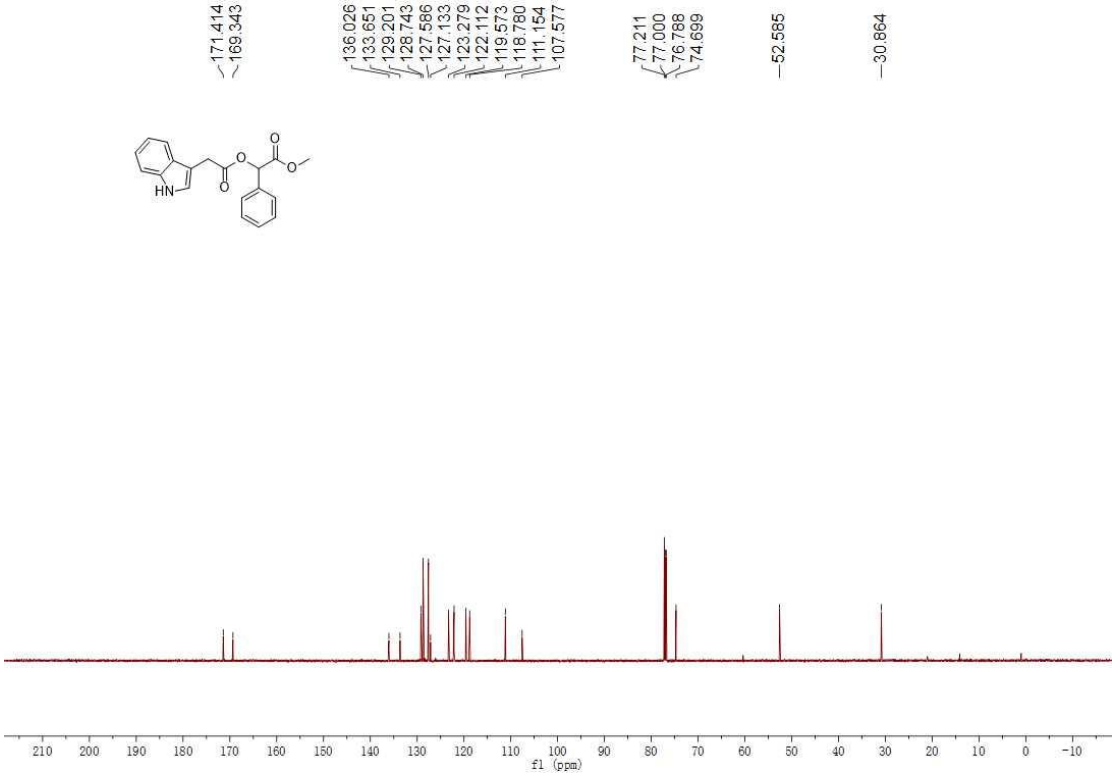
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **61**



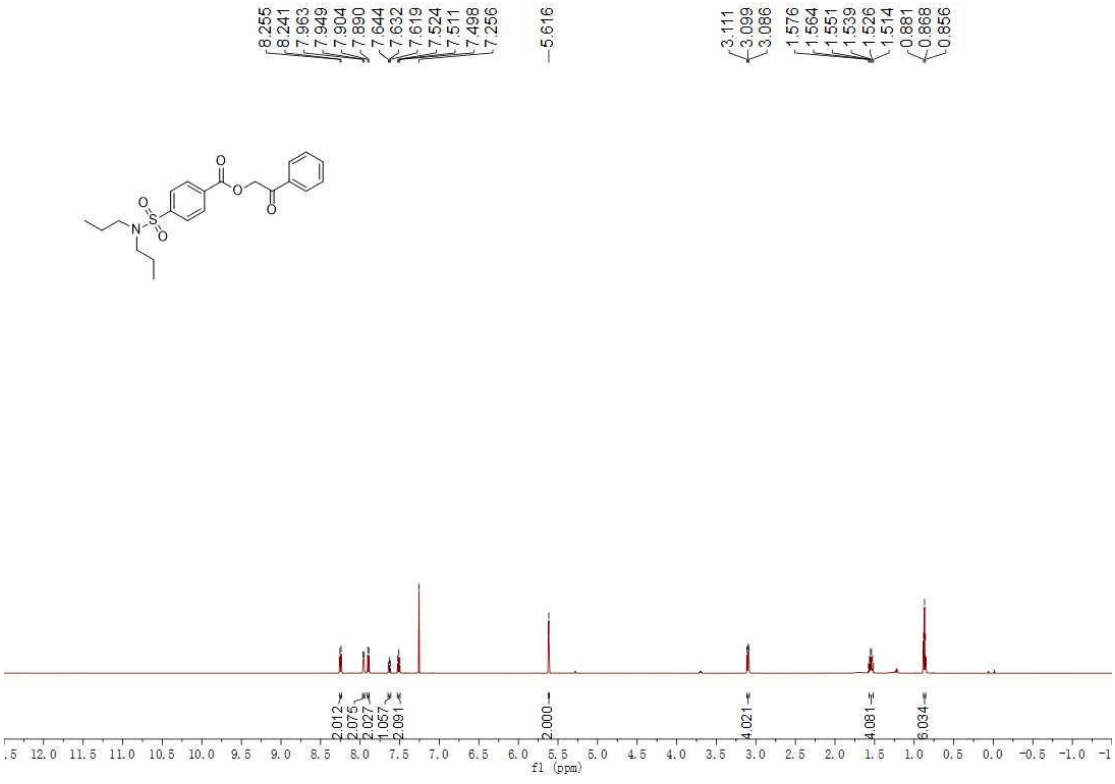
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **62**



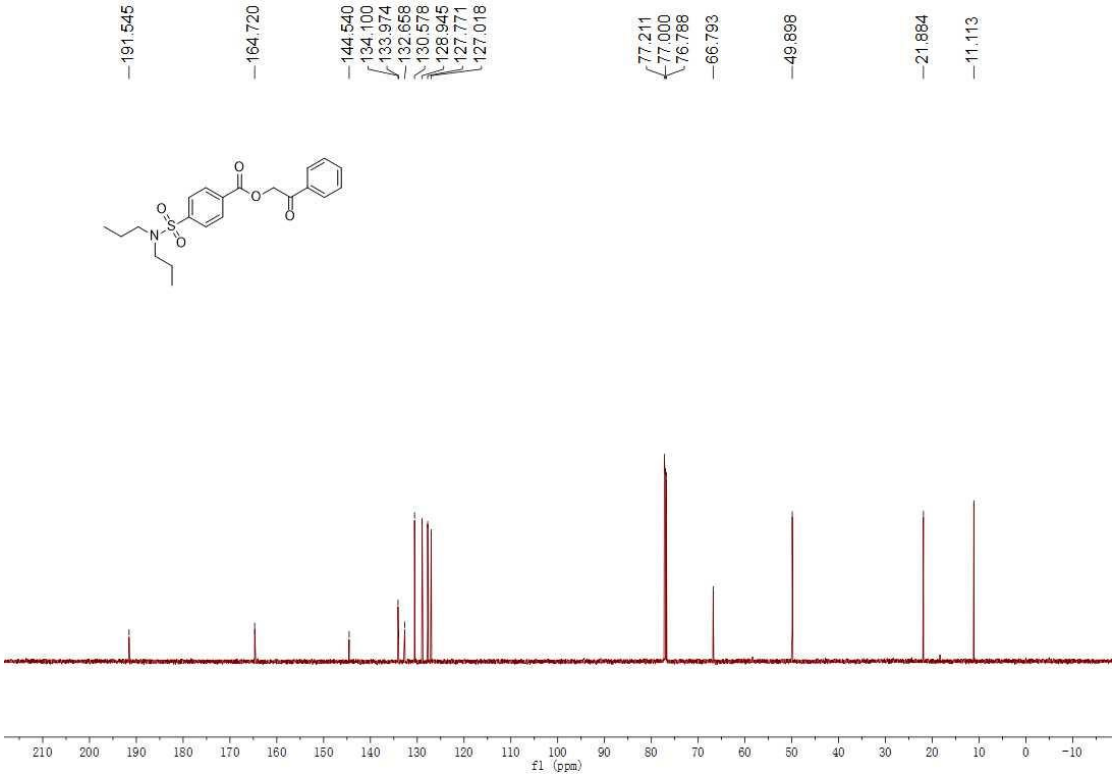
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **62**



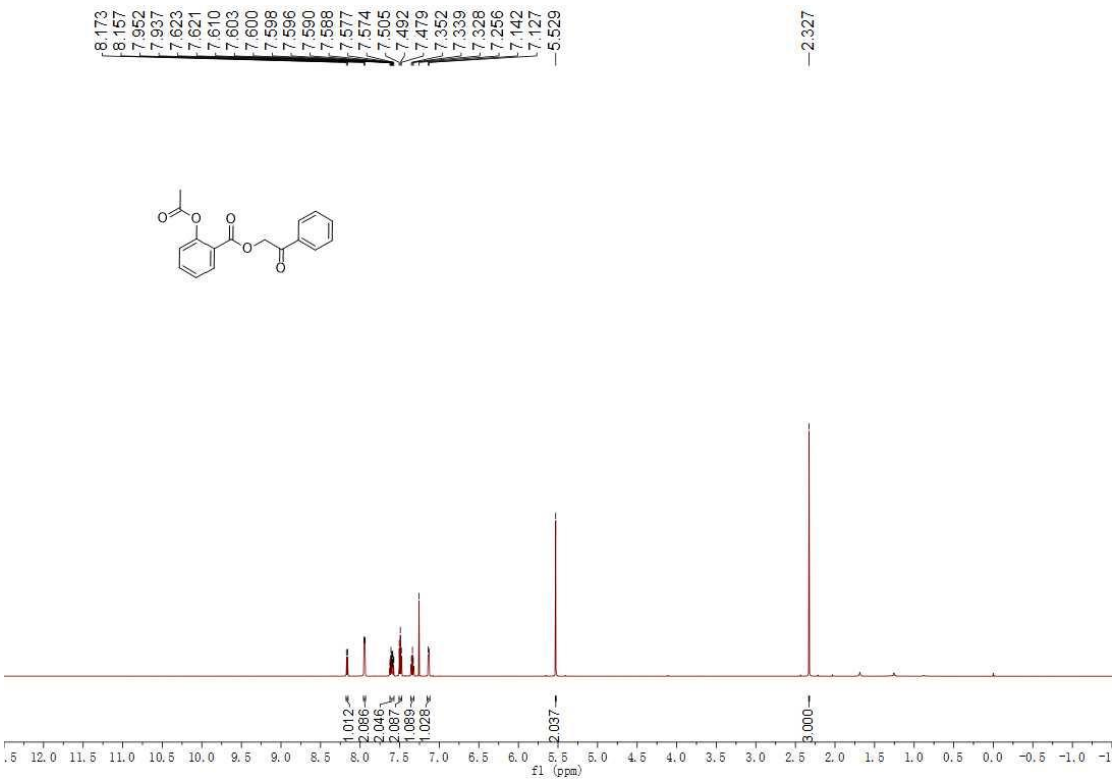
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **63**



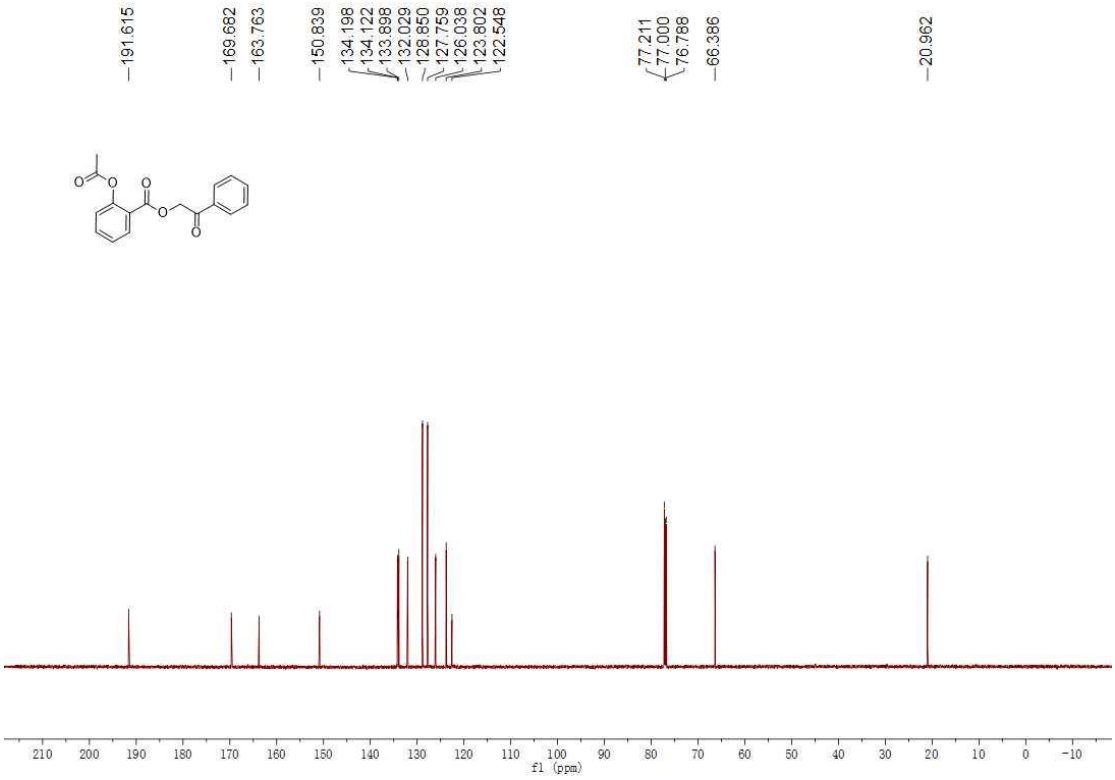
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **63**



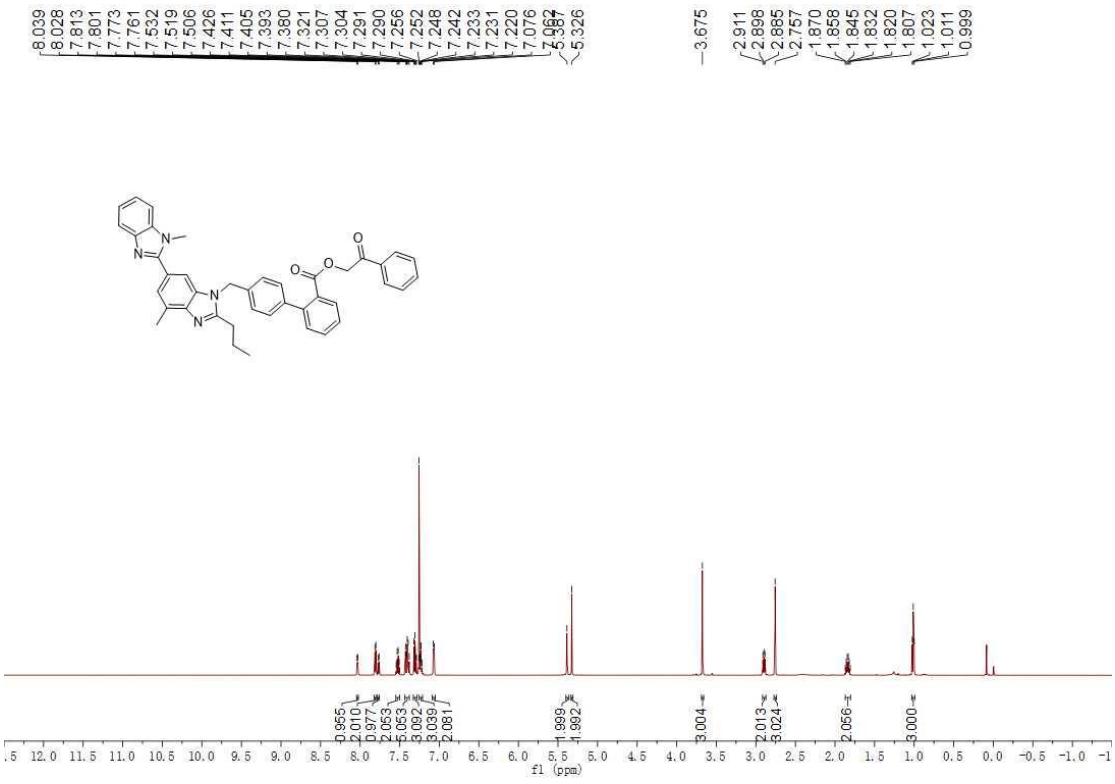
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **64**



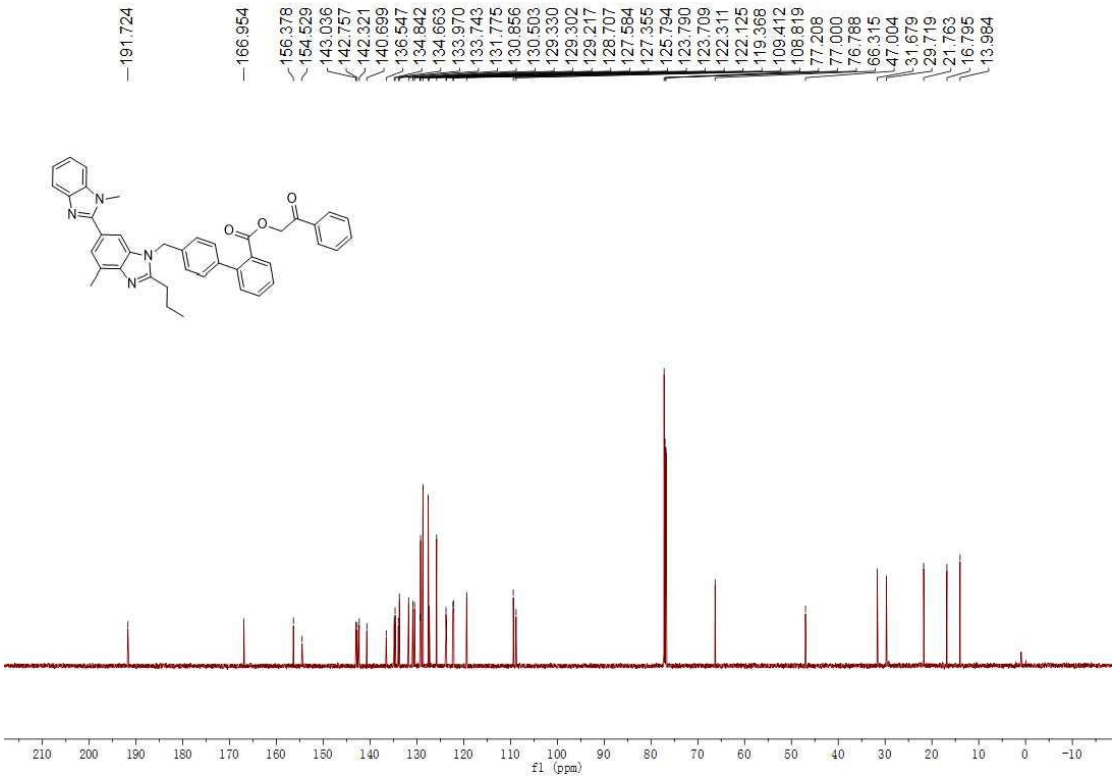
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **64**



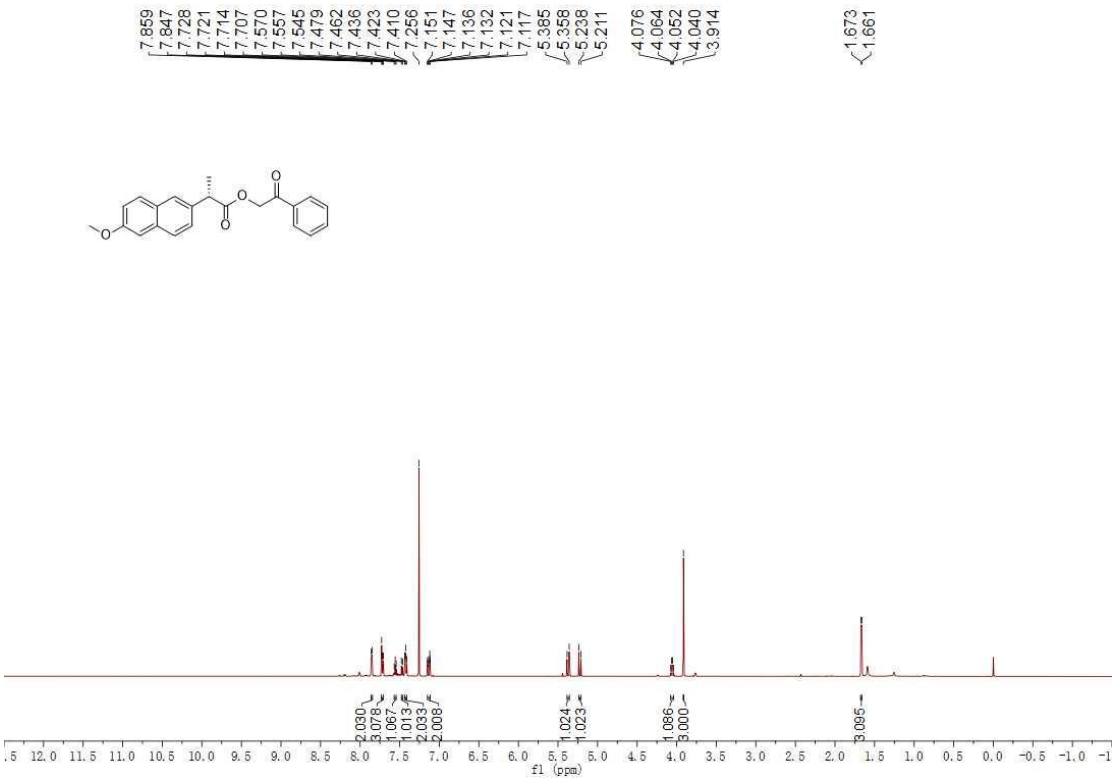
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **65**



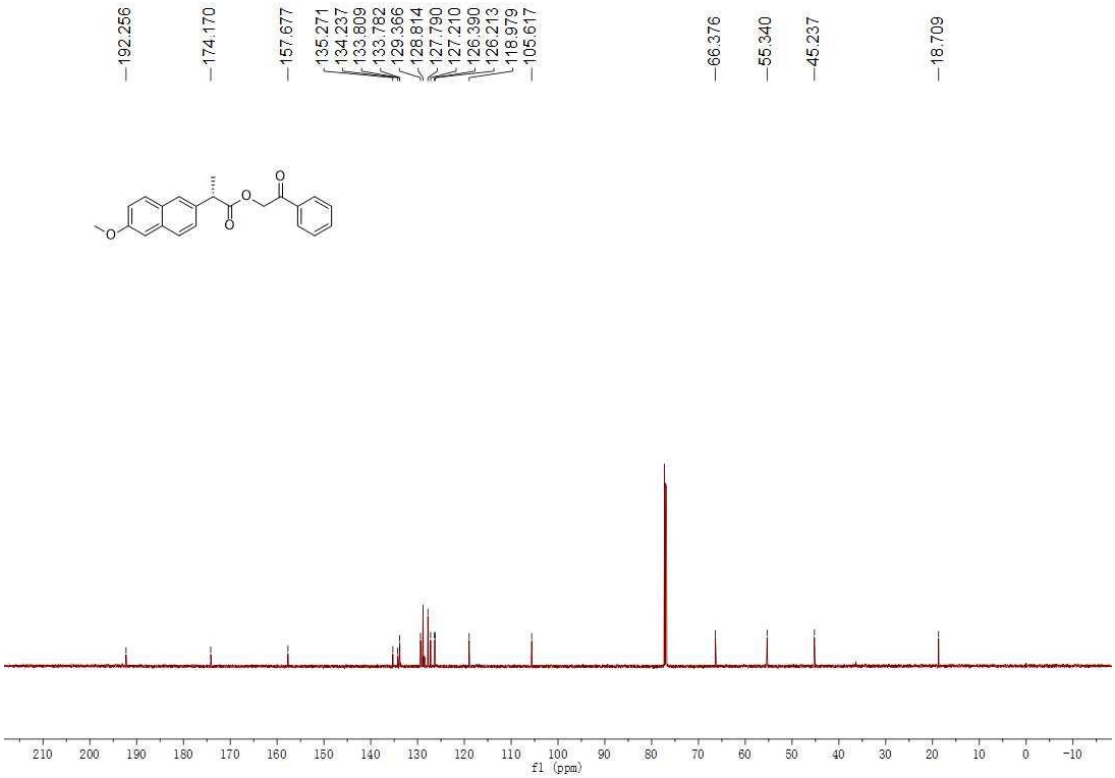
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **65**



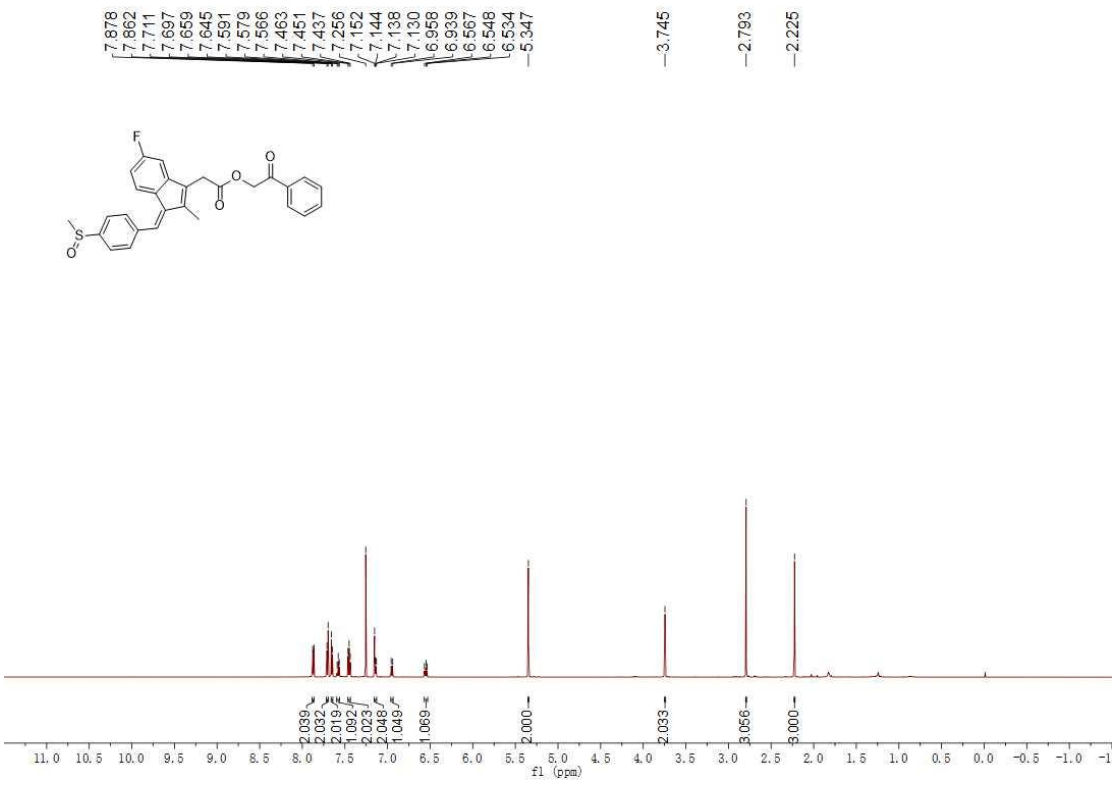
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **66**



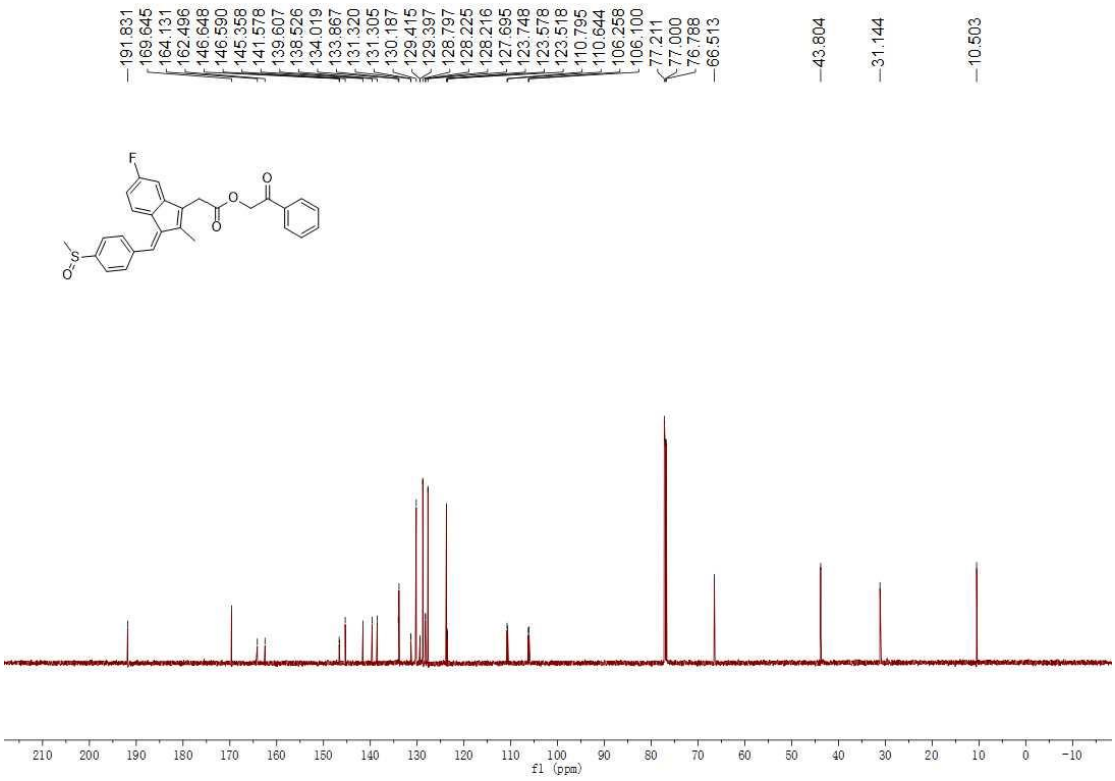
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **66**



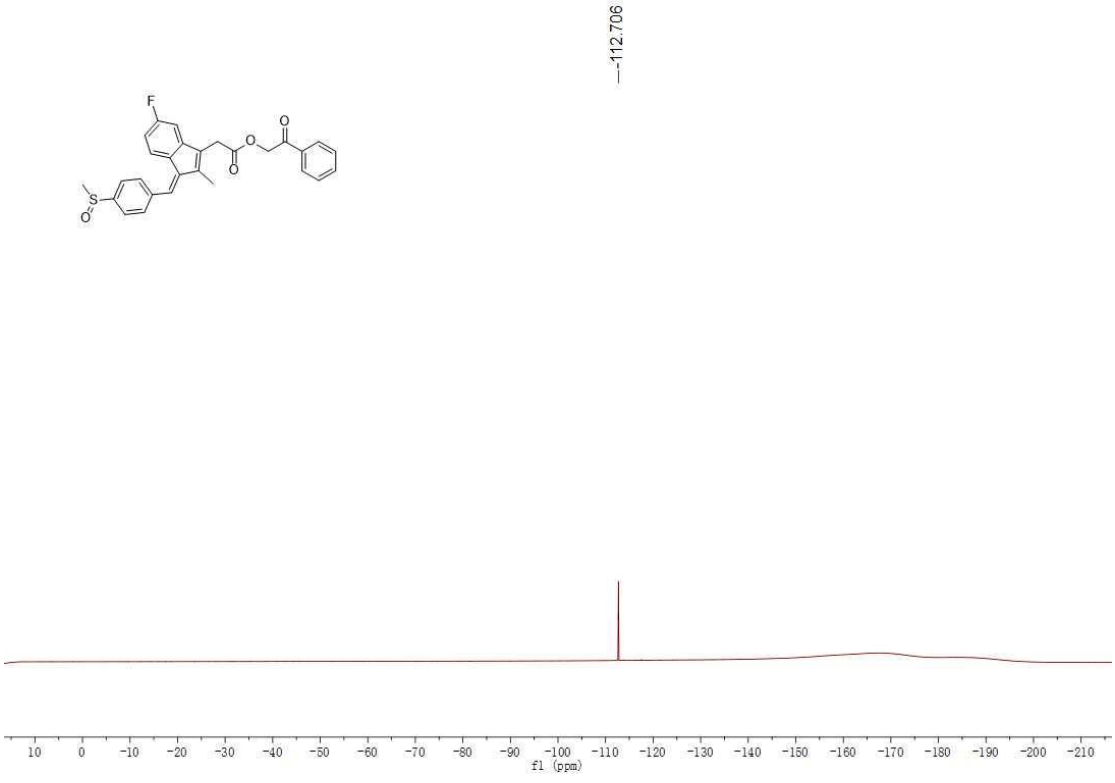
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **67**



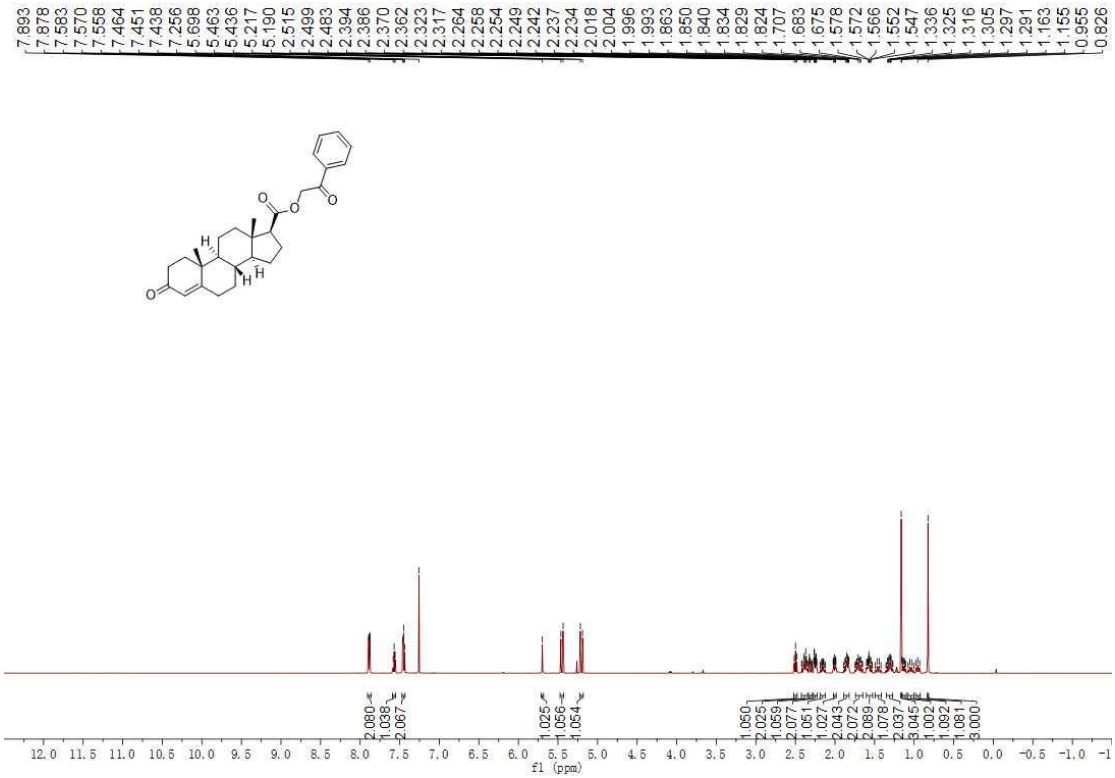
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **67**



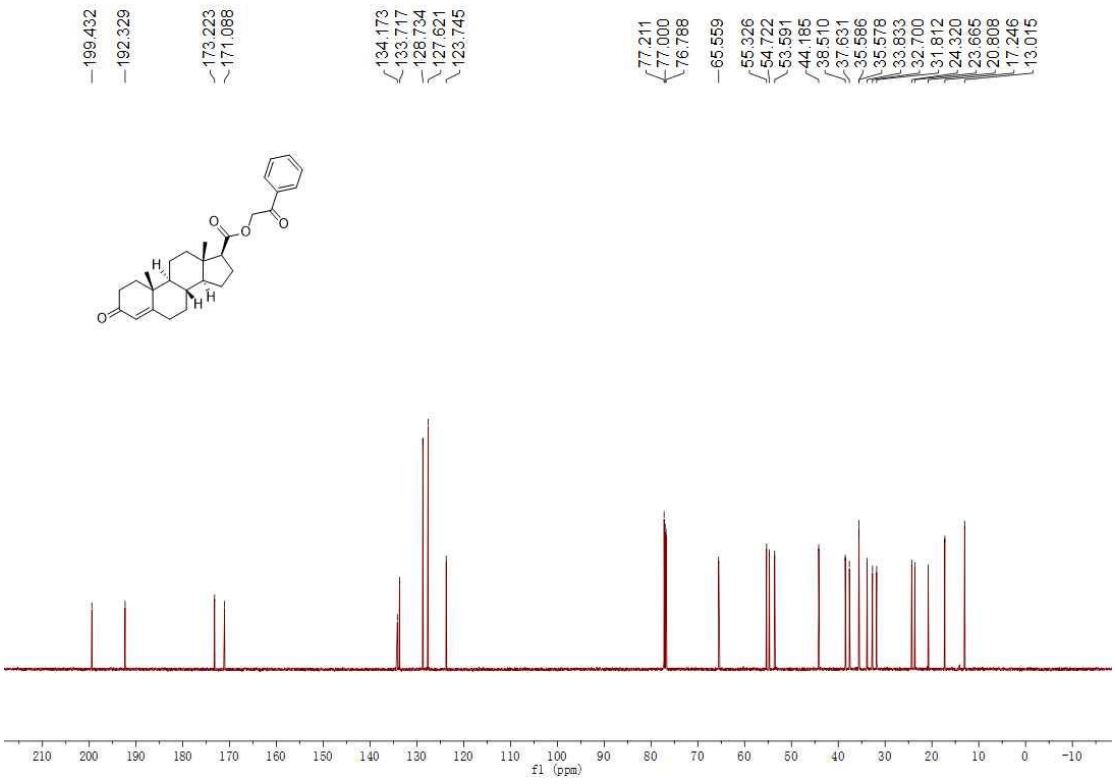
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **67**



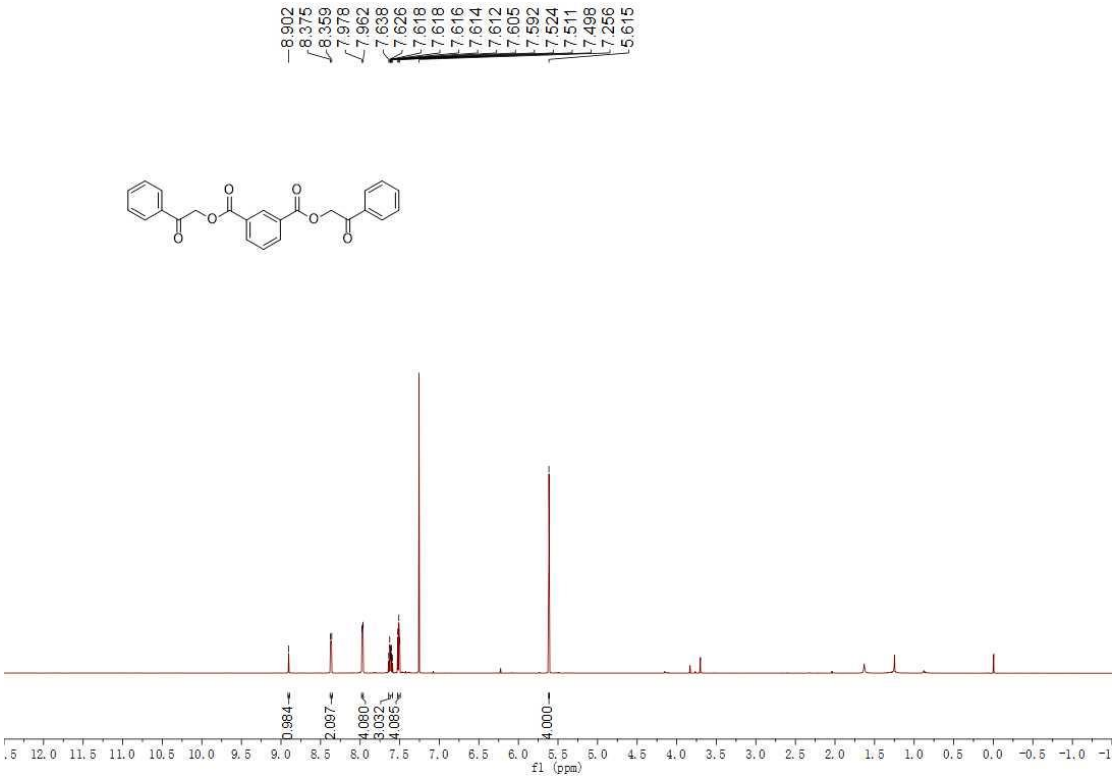
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **68**



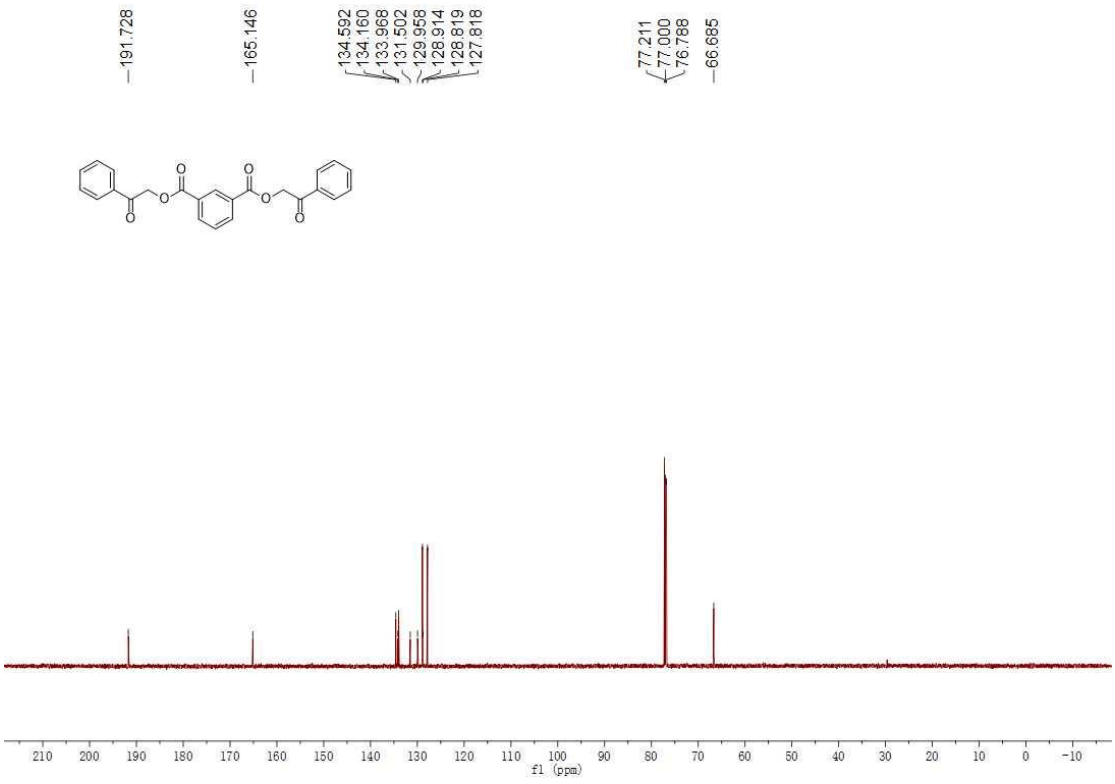
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **68**



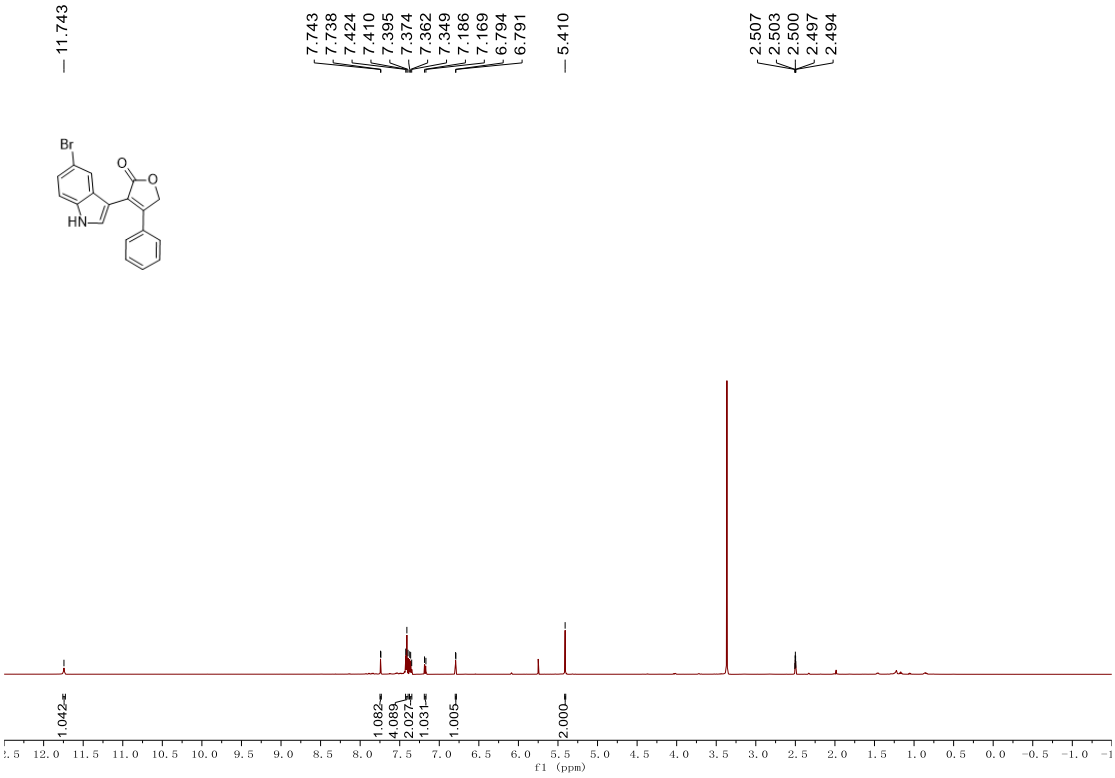
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **70**



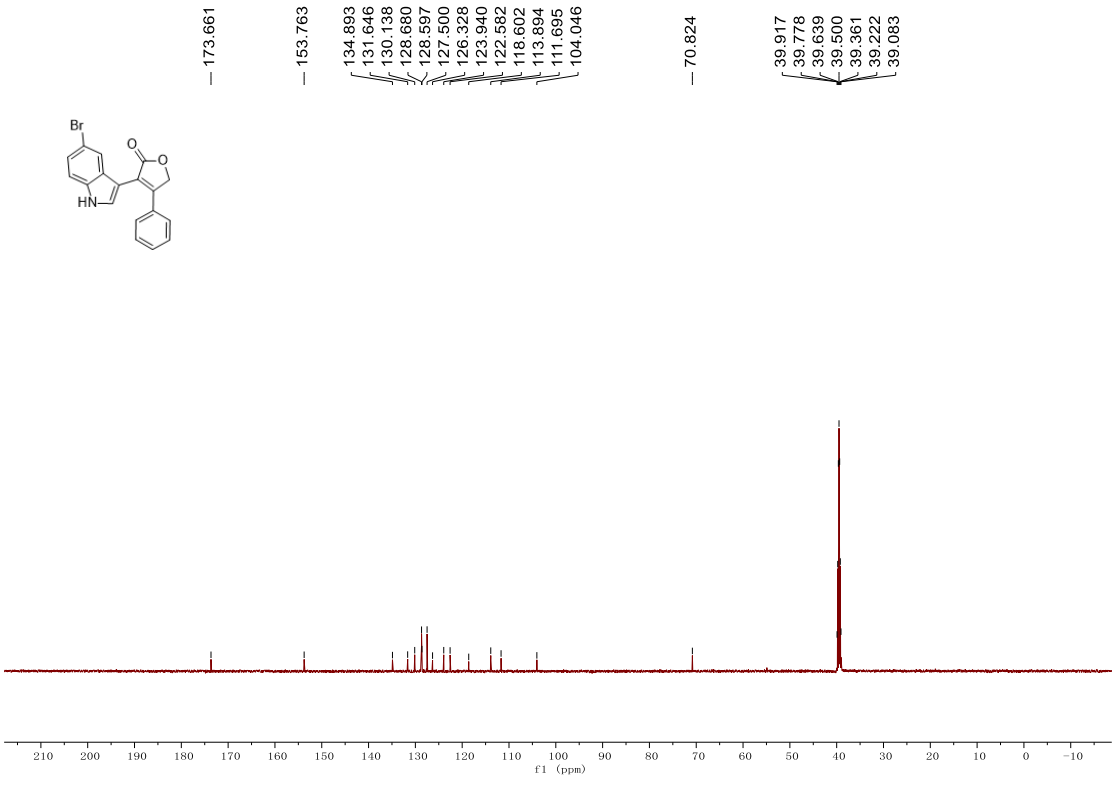
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **70**



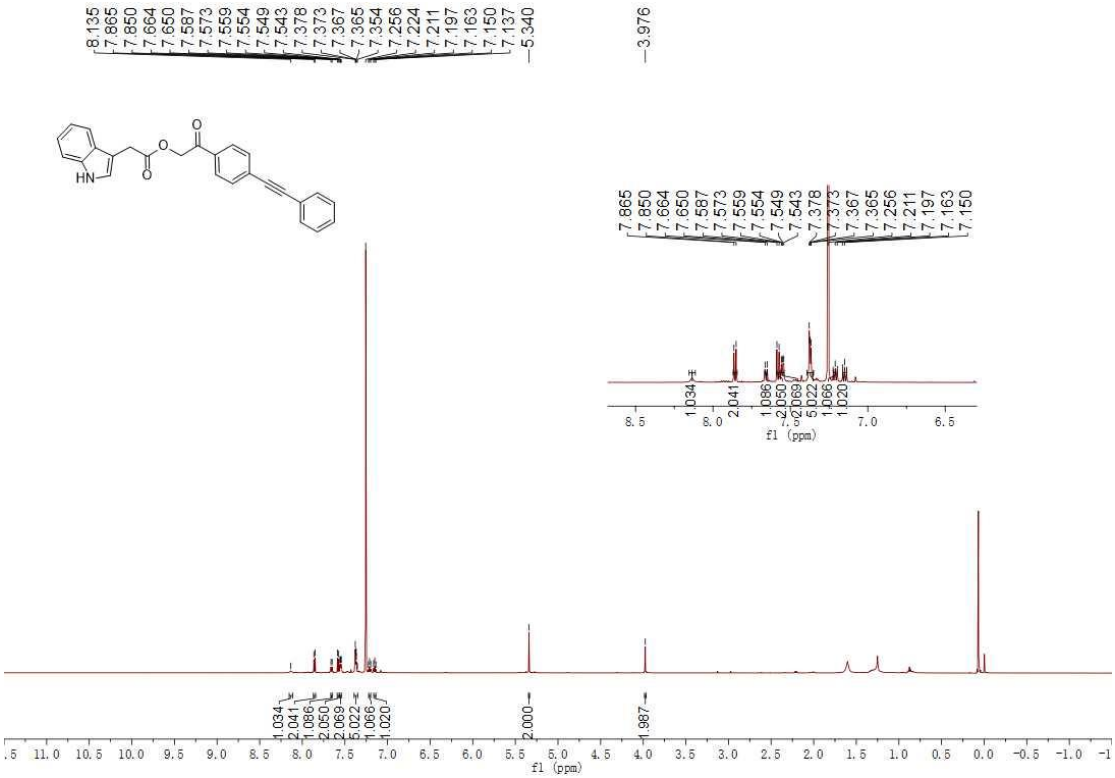
^{1}H NMR (600 MHz, DMSO-d_6) Spectrum of **71**



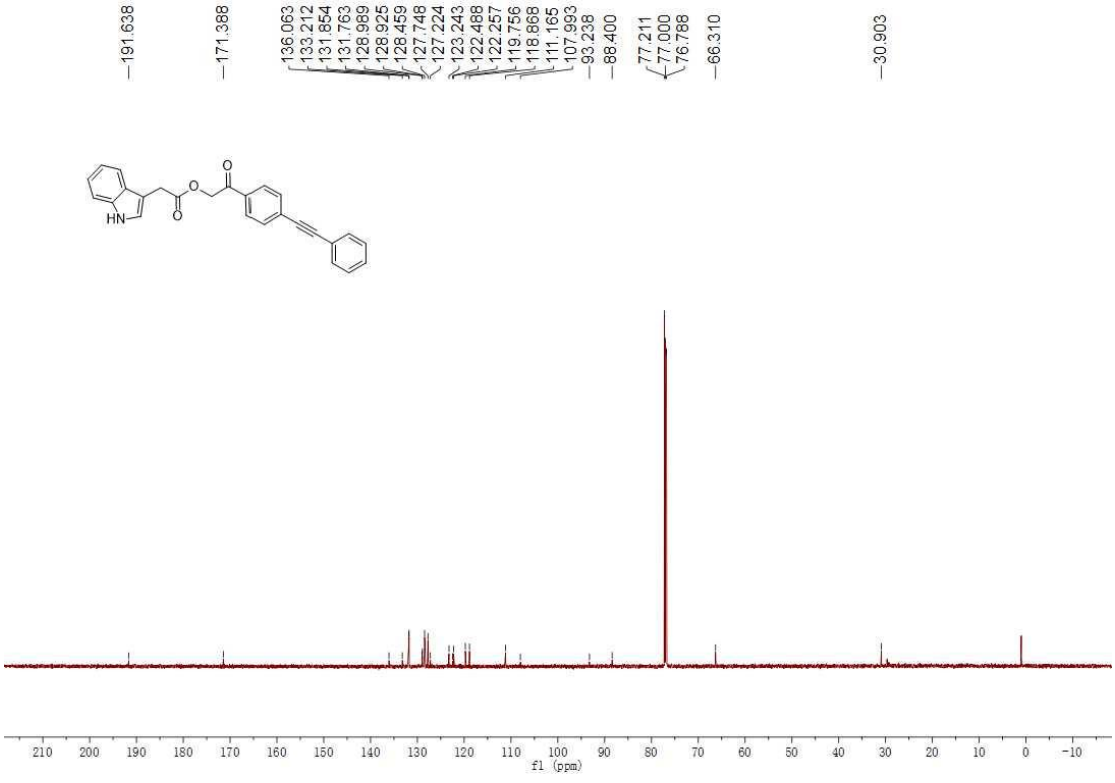
^{13}C NMR (150 MHz, DMSO-d_6) Spectrum of **71**



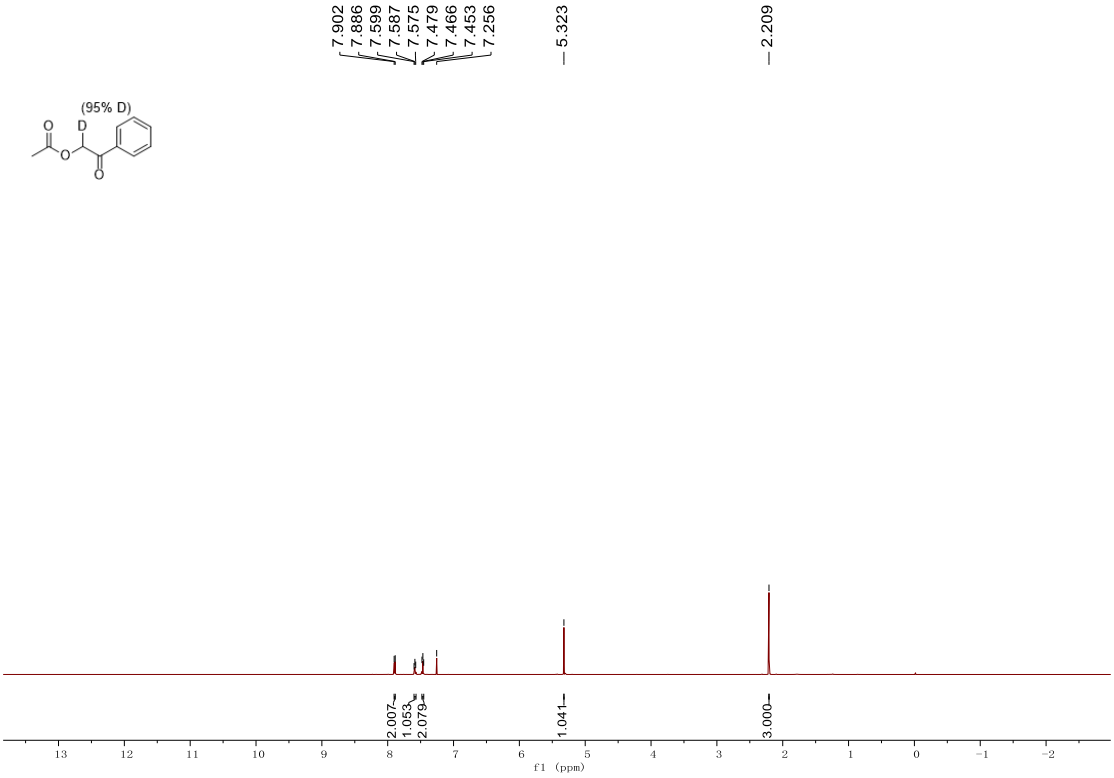
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **73**



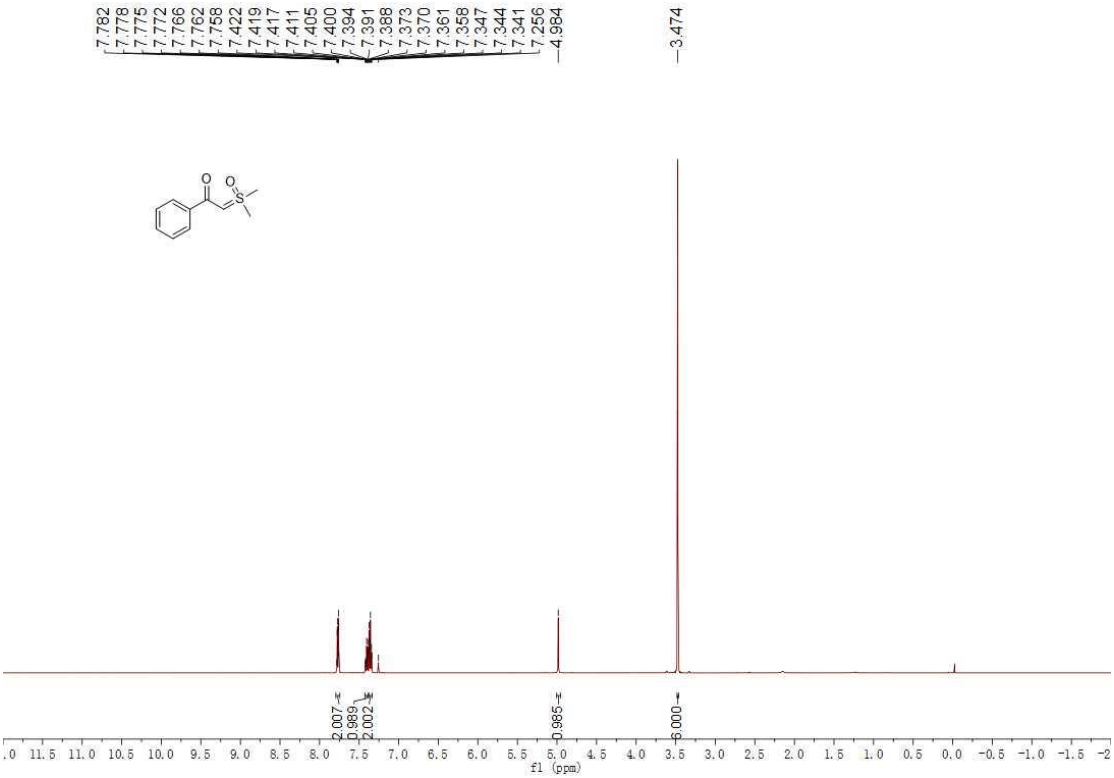
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **73**



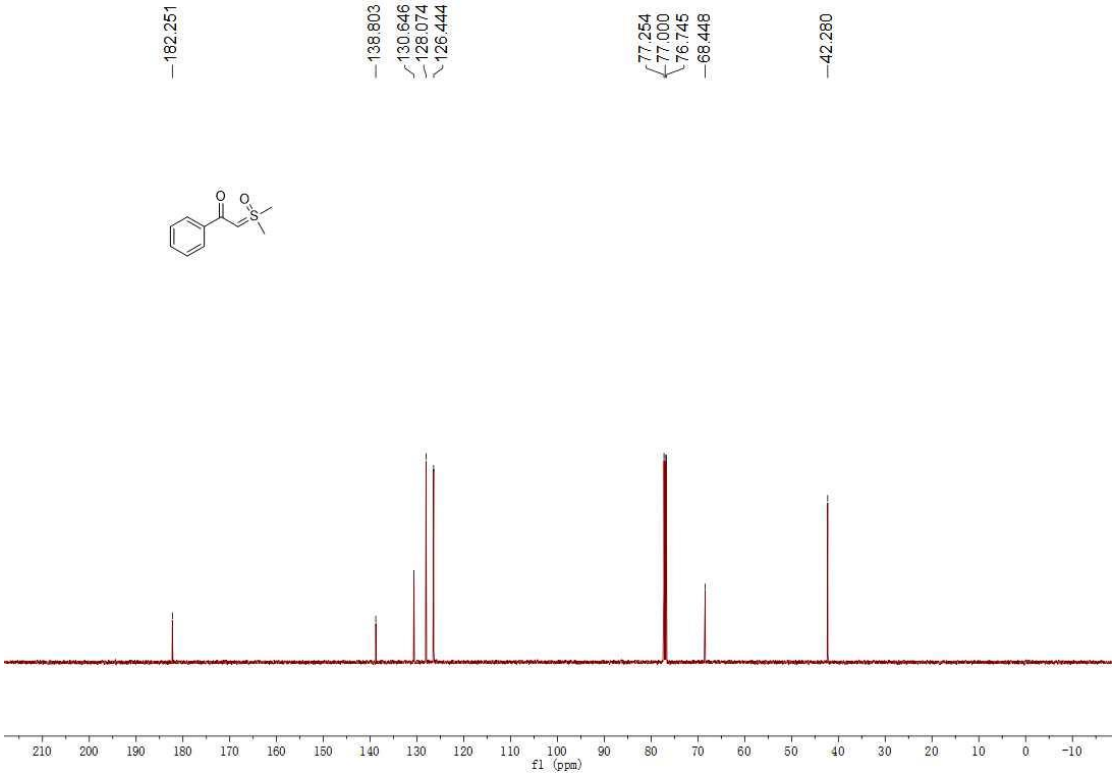
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **38-*d***



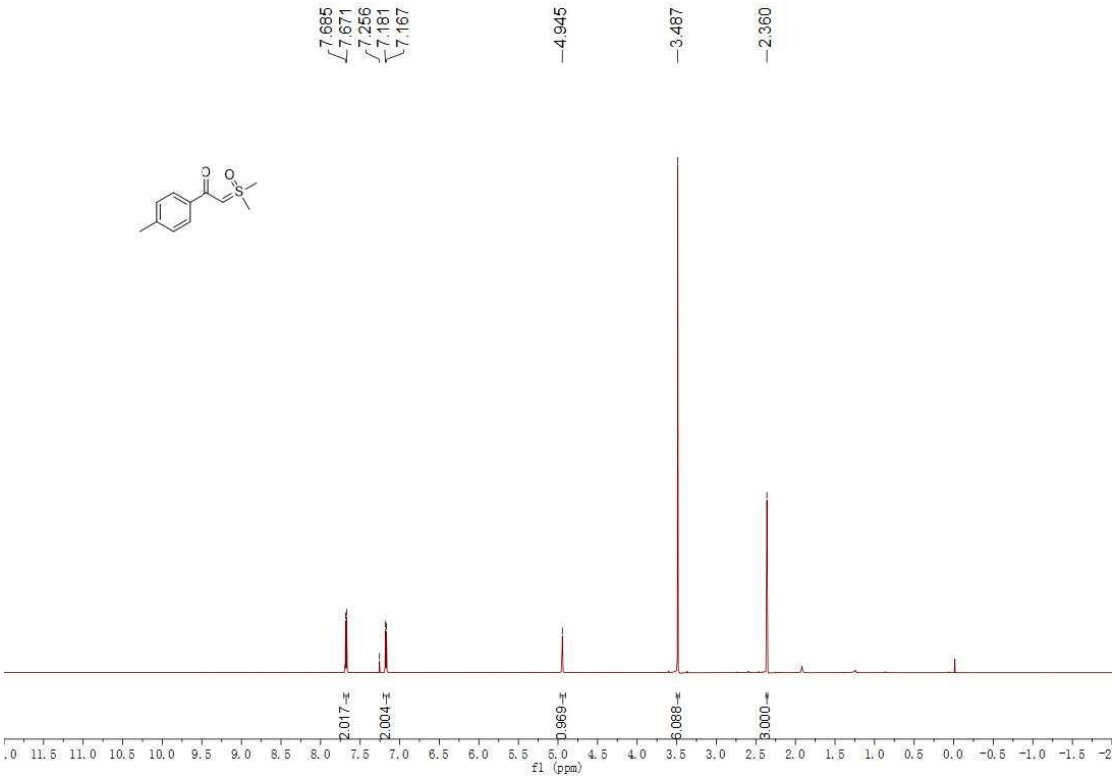
^{1}H NMR (500 MHz, $CDCl_3$) Spectrum of **2a**



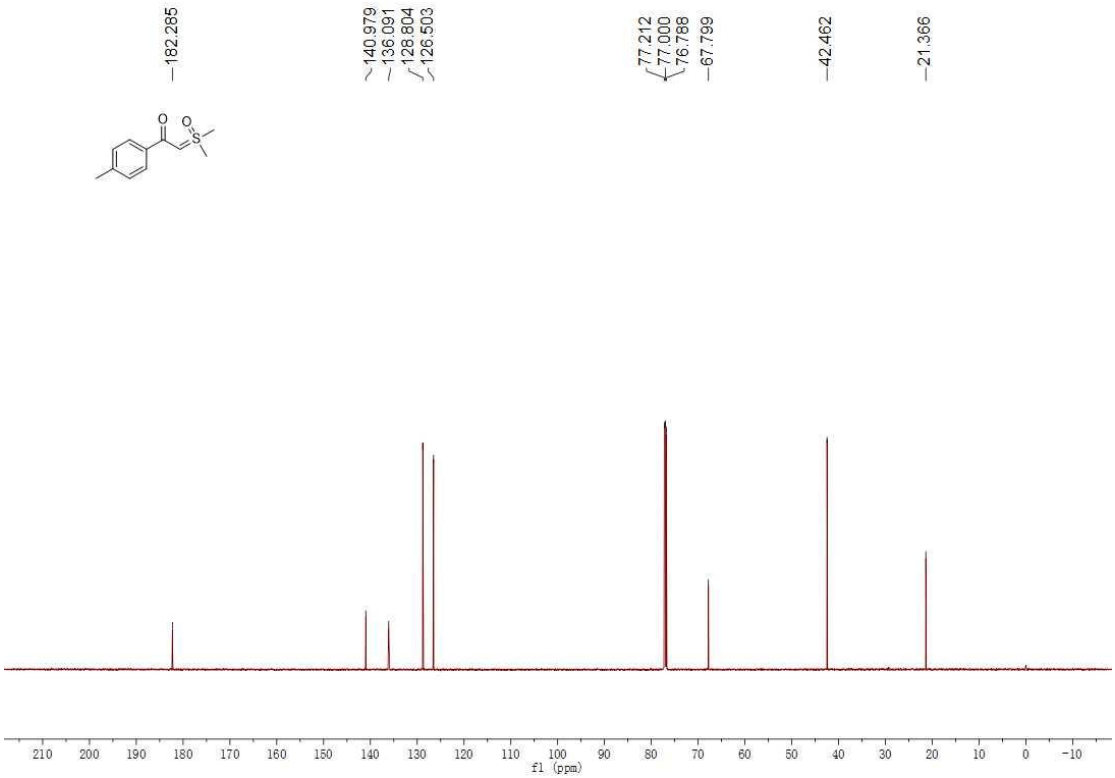
^{13}C NMR (125 MHz, $CDCl_3$) Spectrum of **2a**



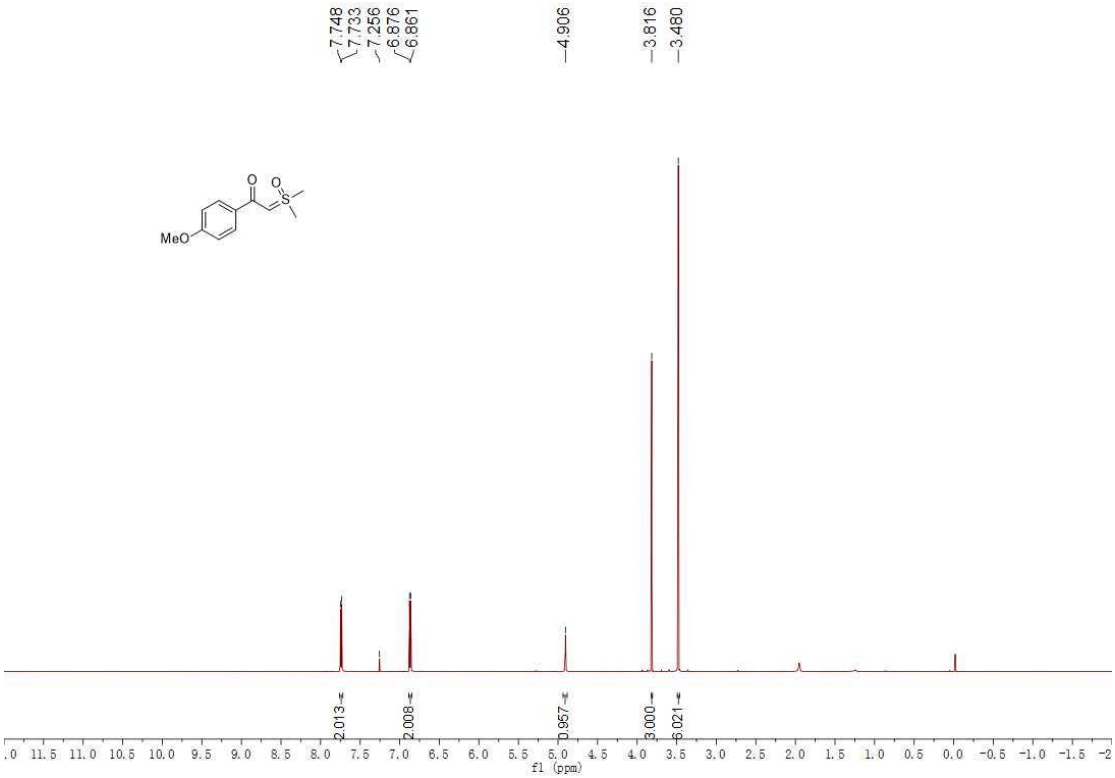
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2b**



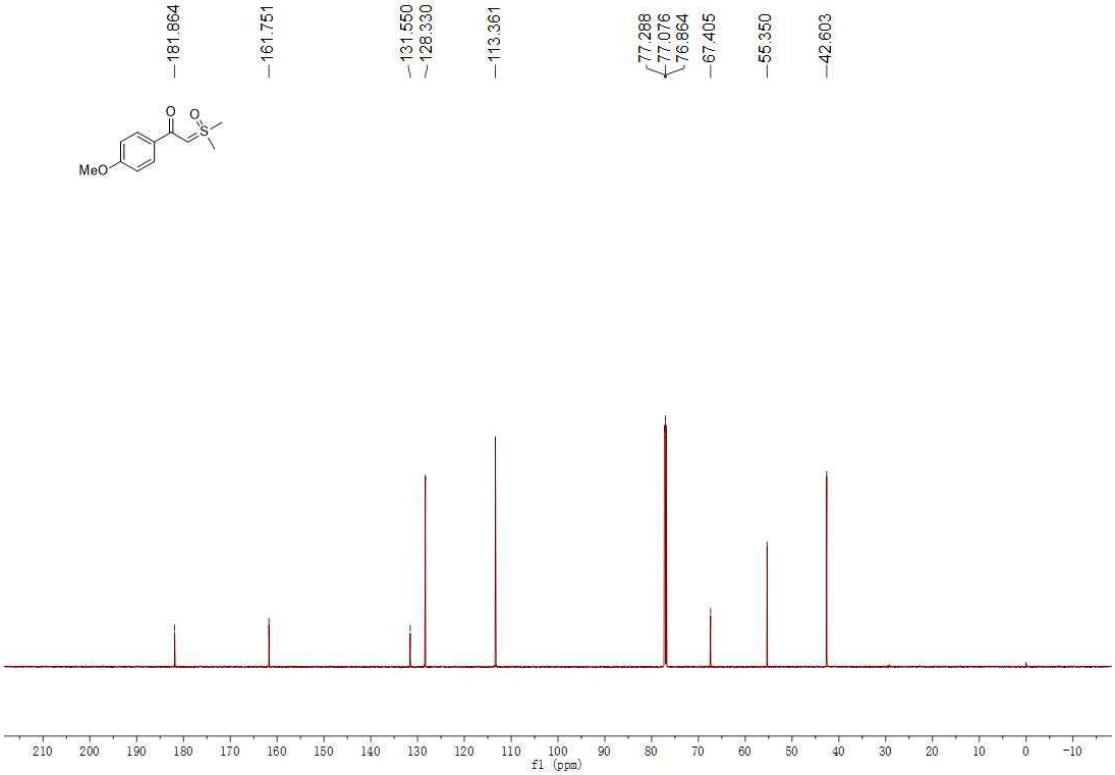
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2b**



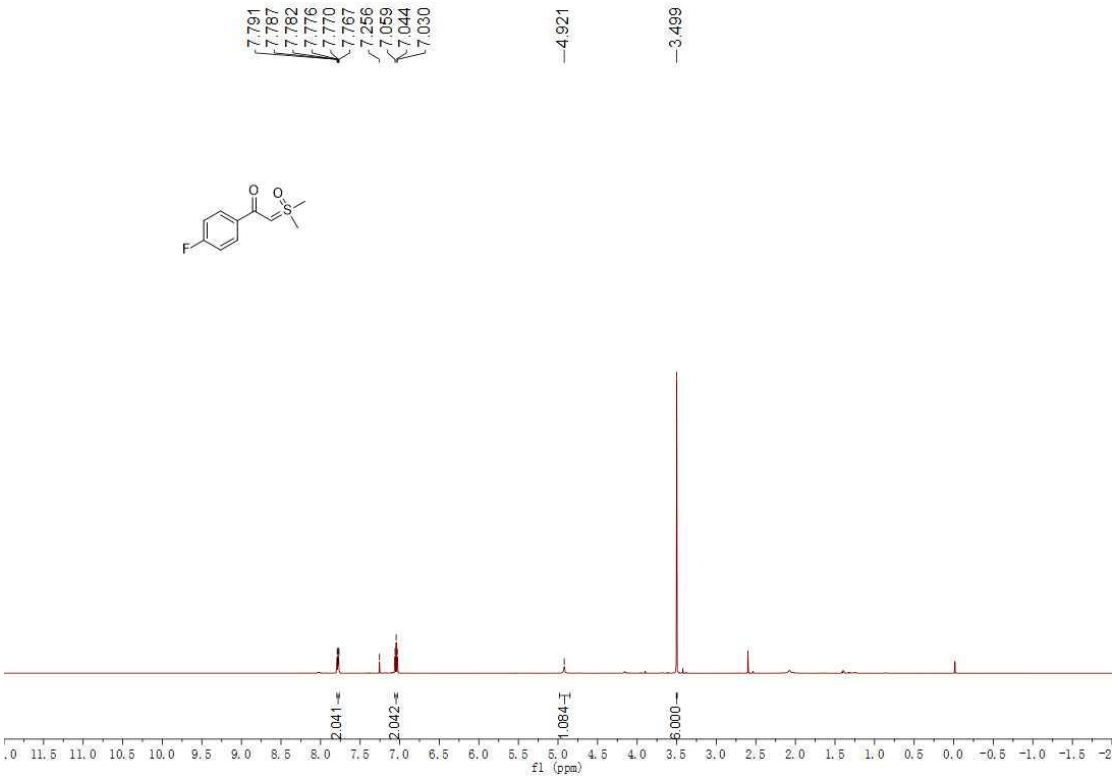
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2c**



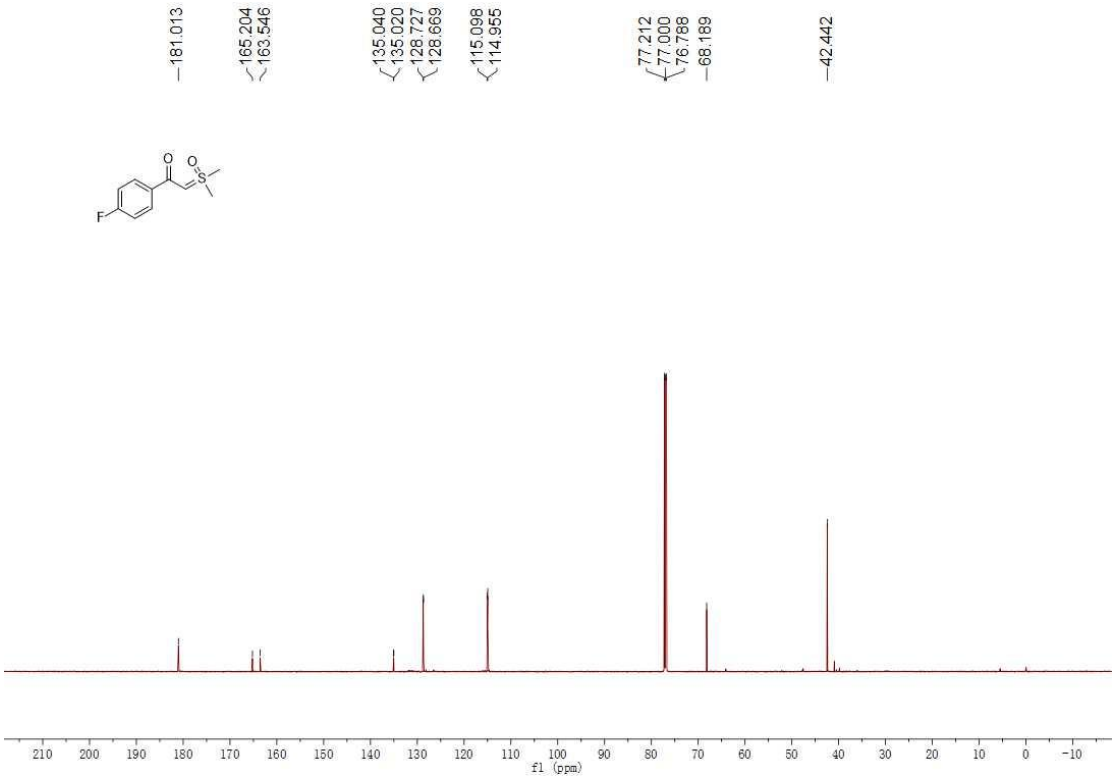
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2c**



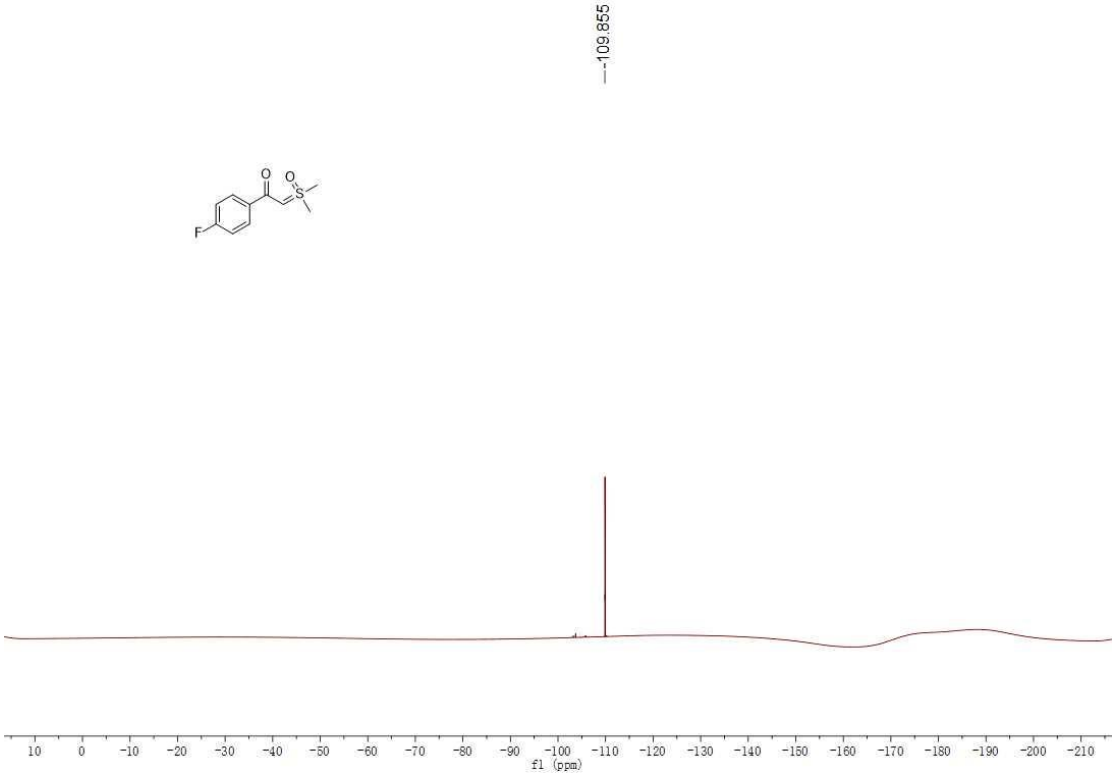
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2d**



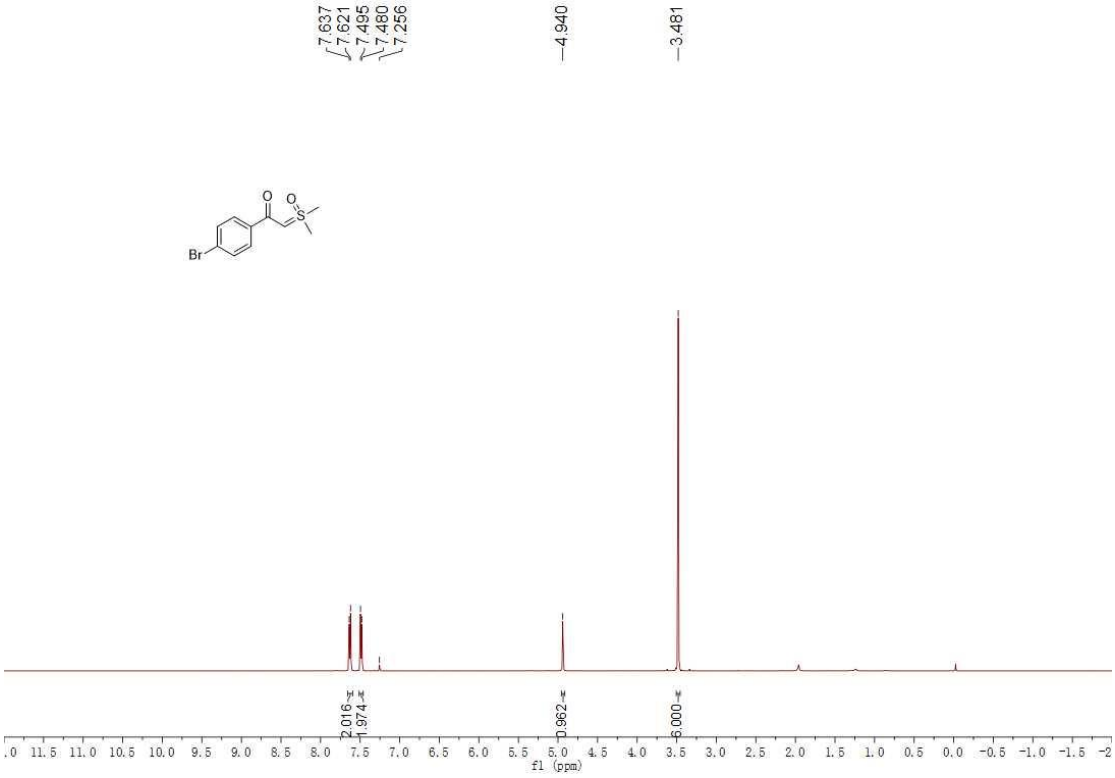
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2d**



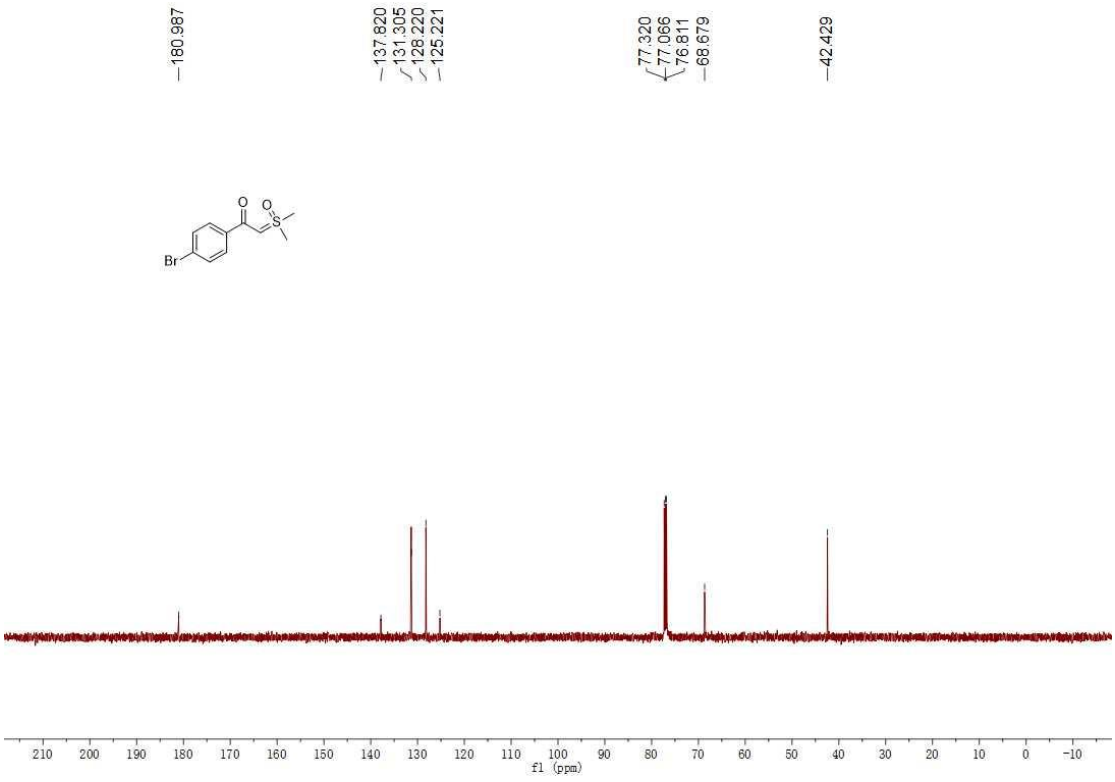
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **2d**



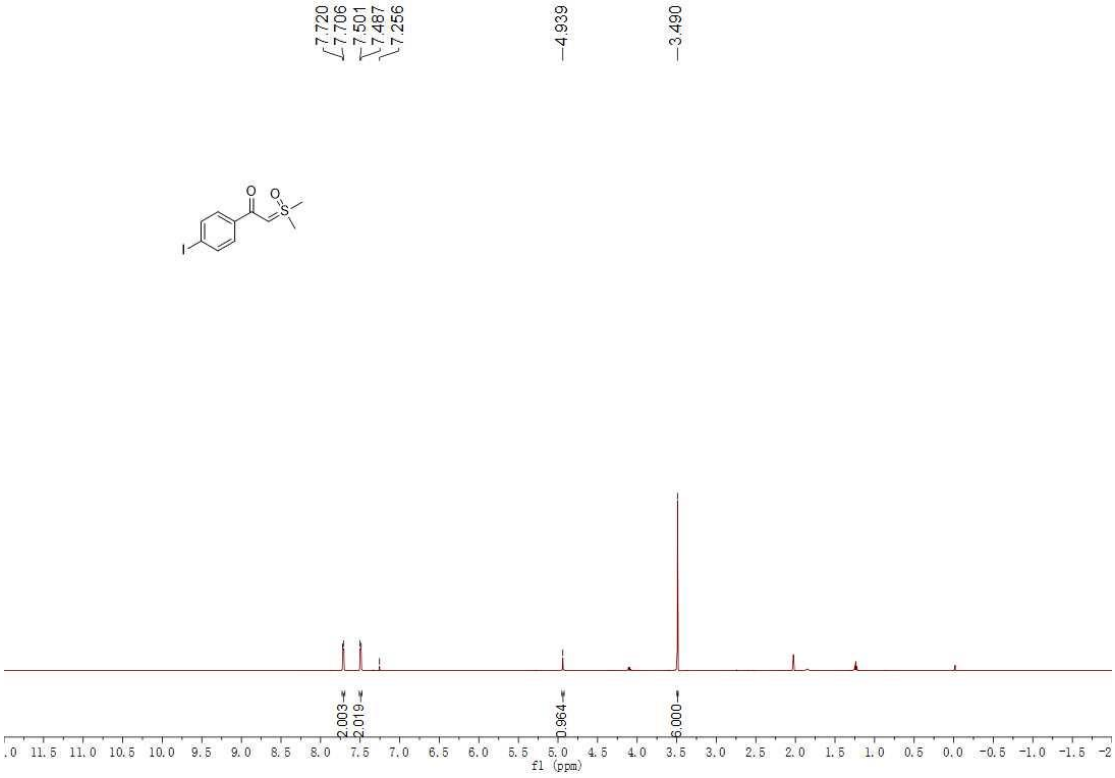
^{1}H NMR (500 MHz, $CDCl_3$) Spectrum of **2e**



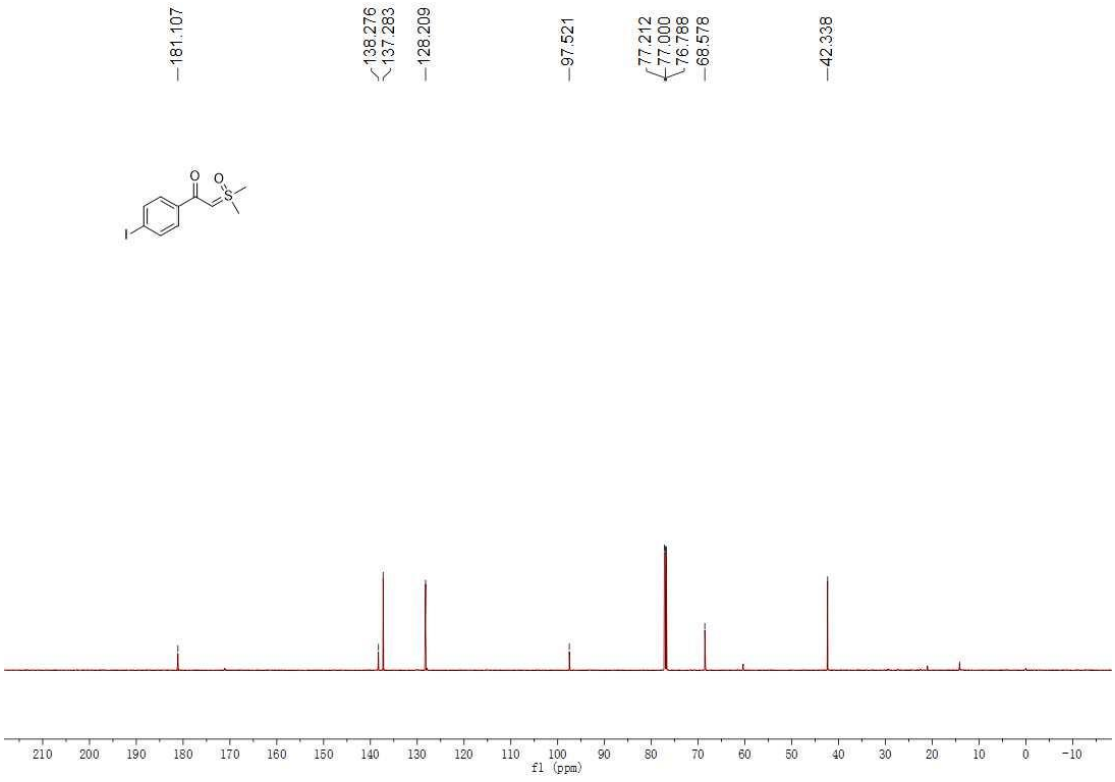
^{13}C NMR (125 MHz, $CDCl_3$) Spectrum of **2e**



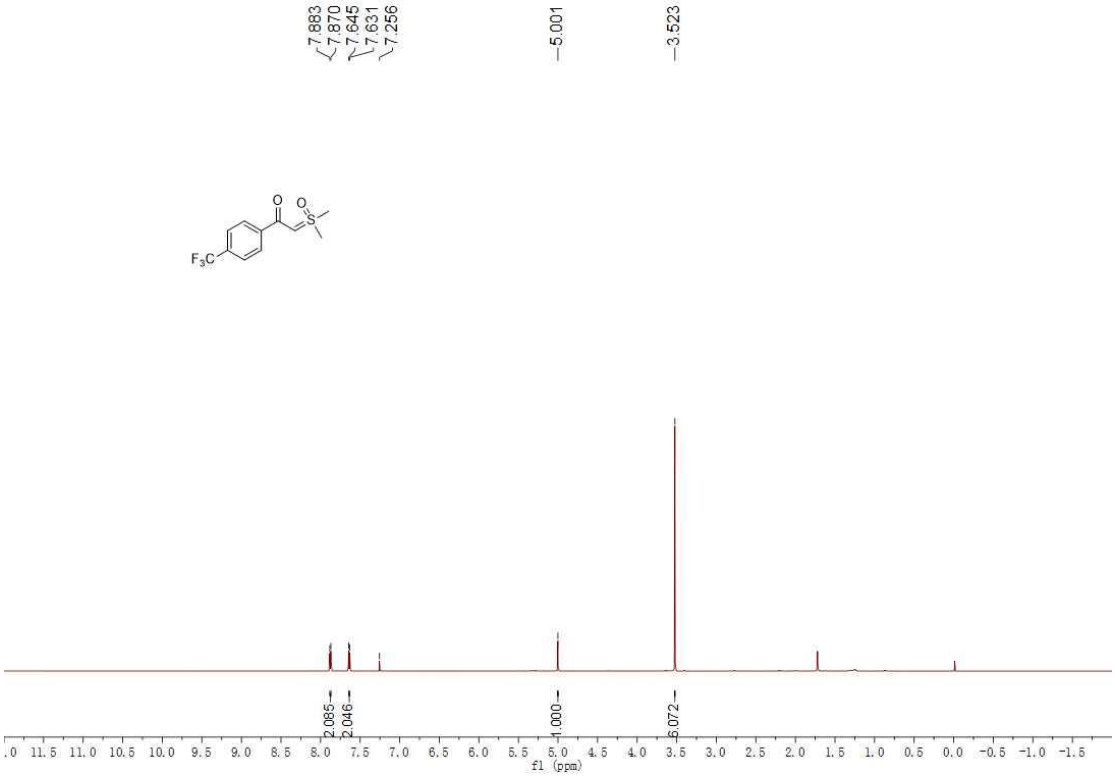
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2f**



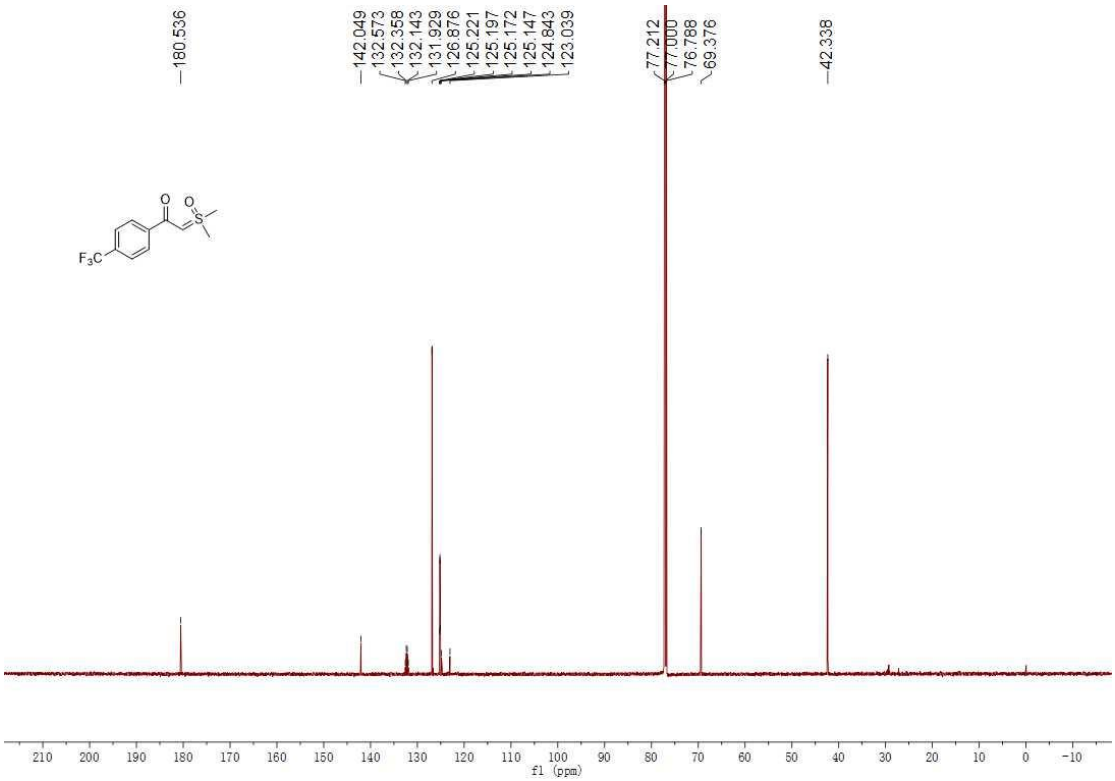
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2f**



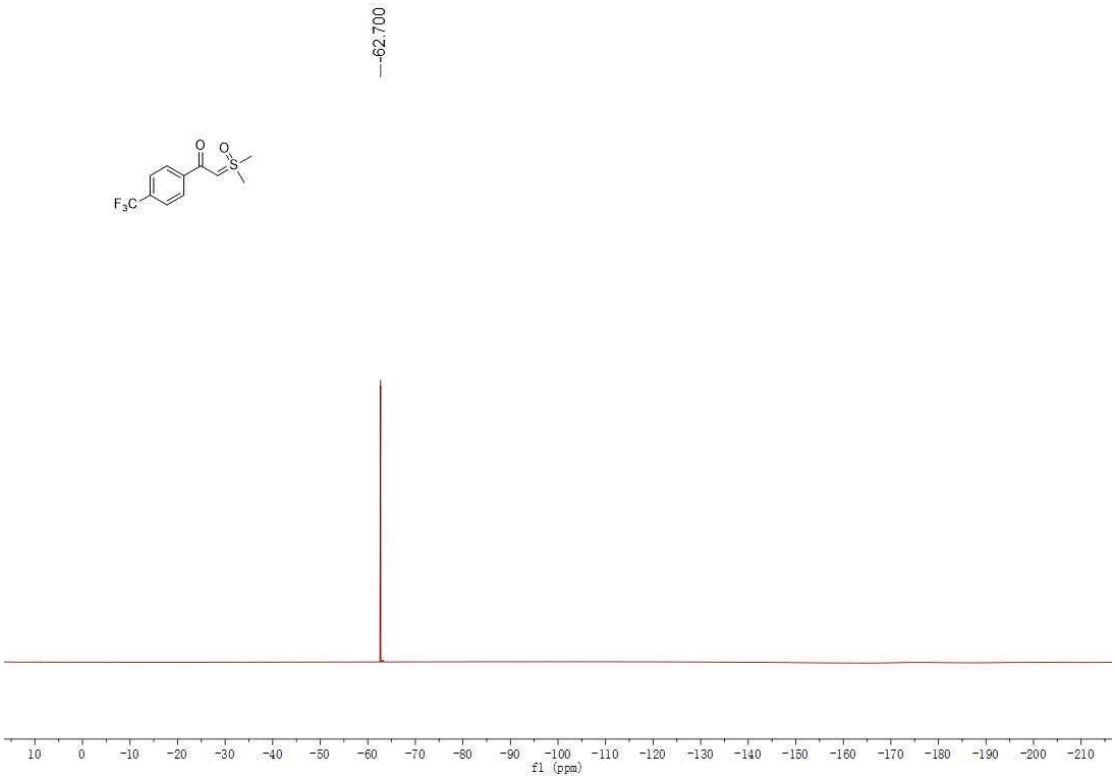
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2g**



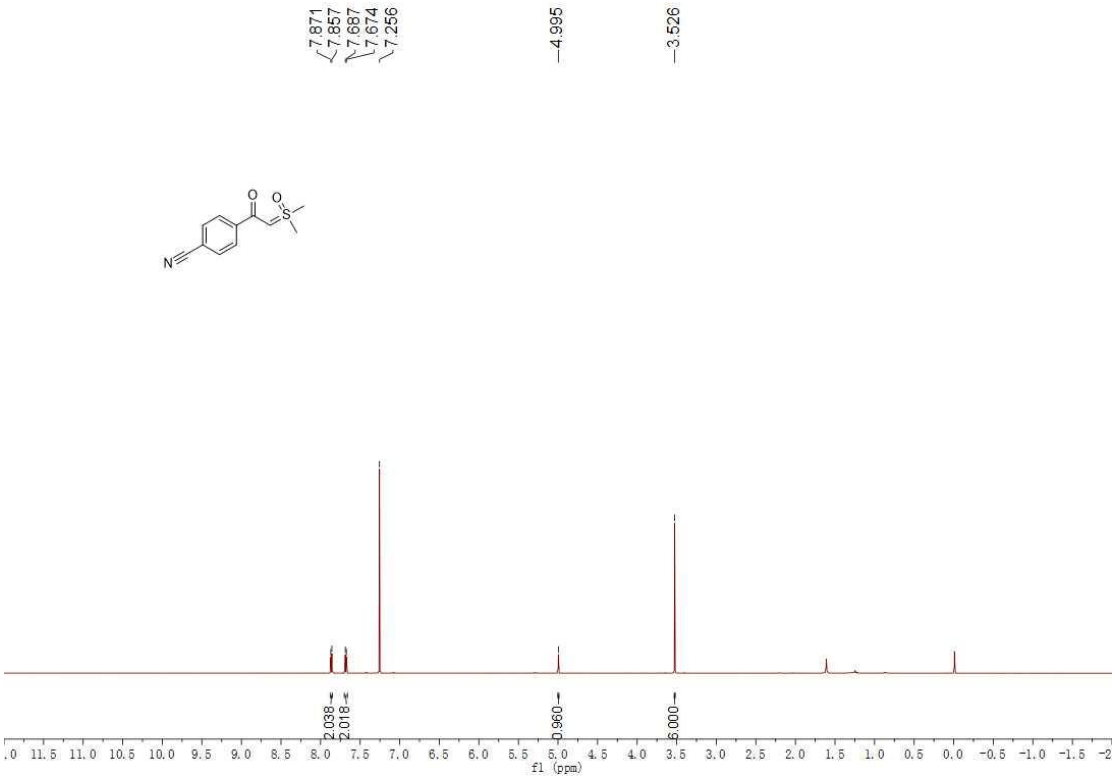
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2g**



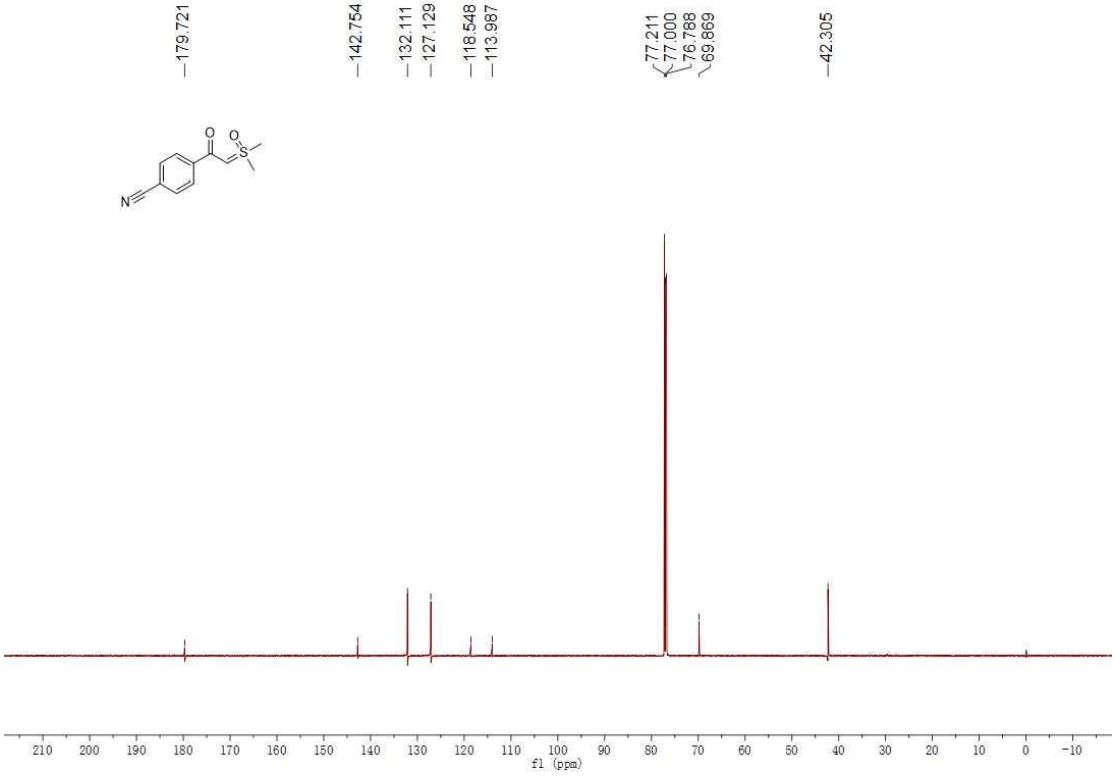
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **2g**



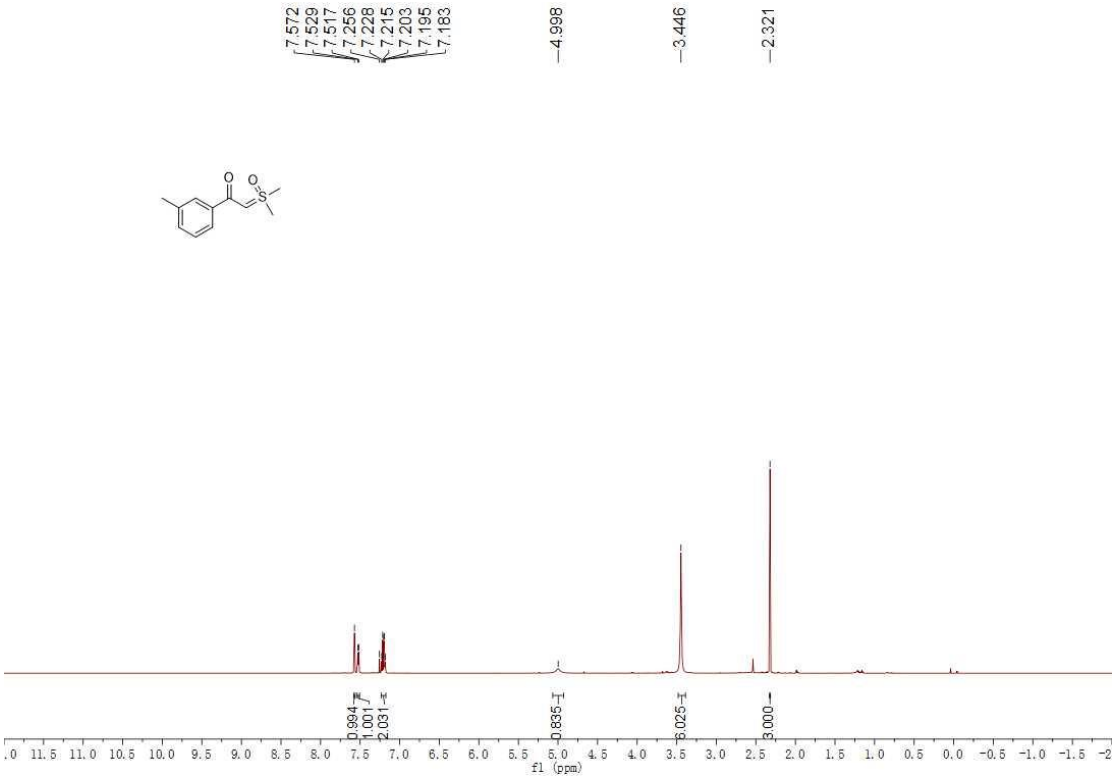
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2h**



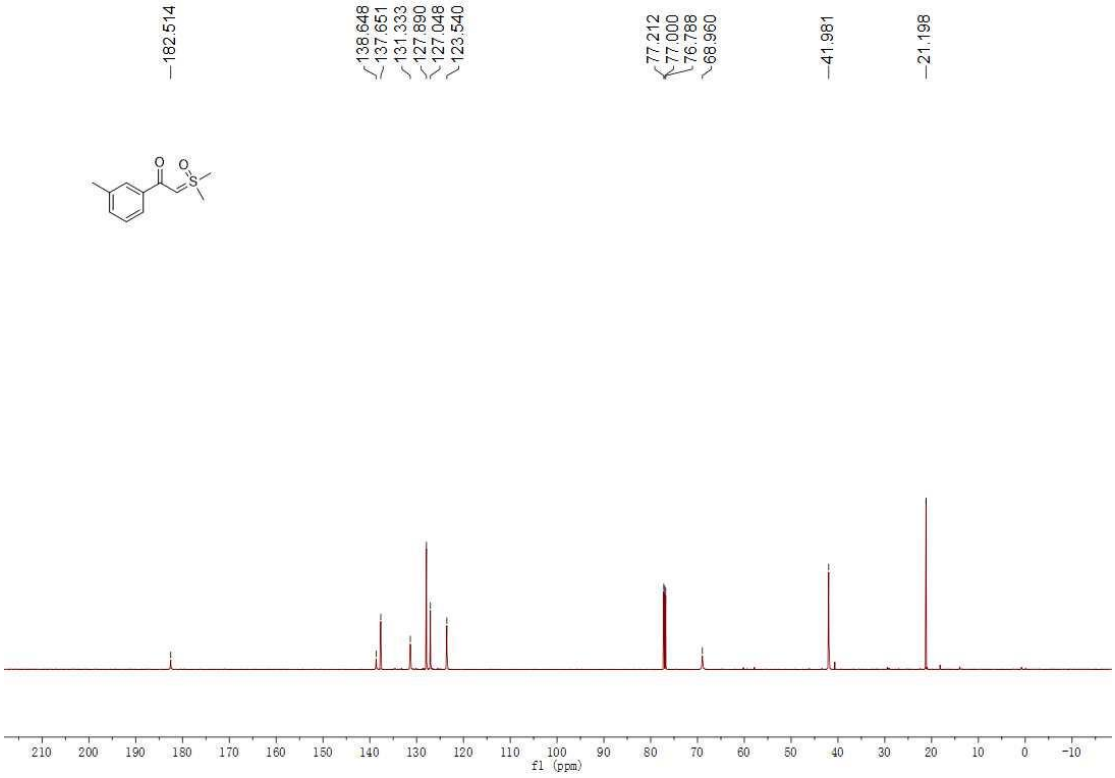
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2h**



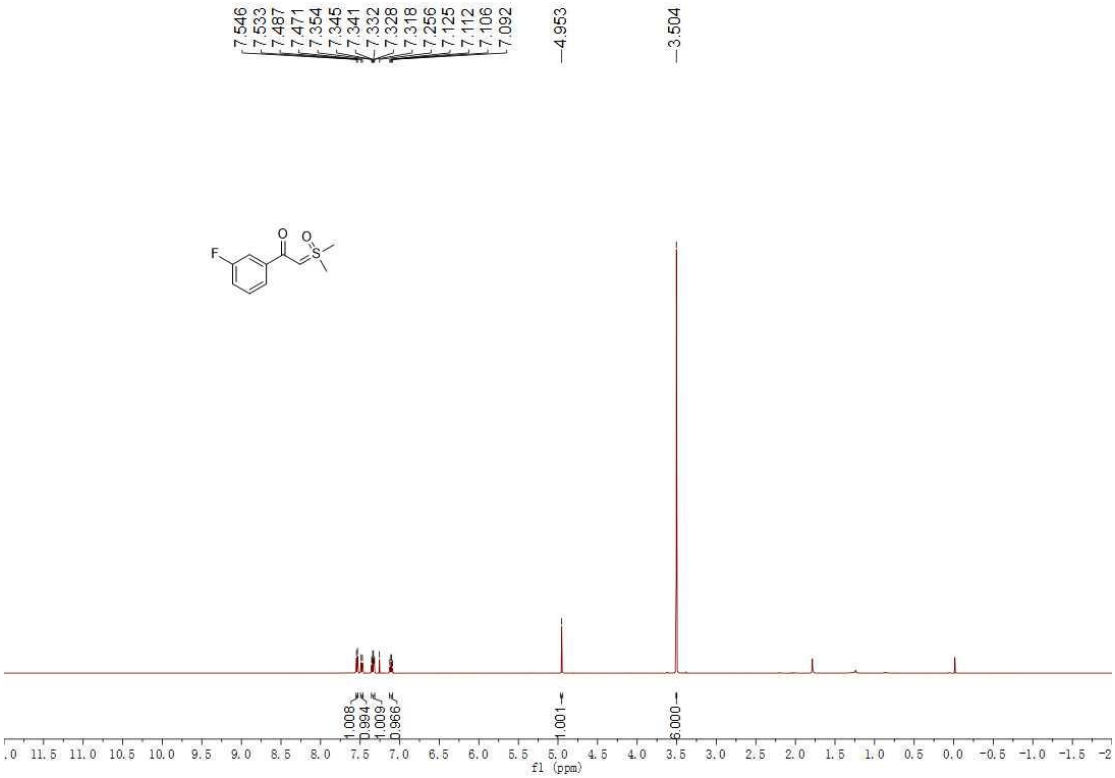
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2i**



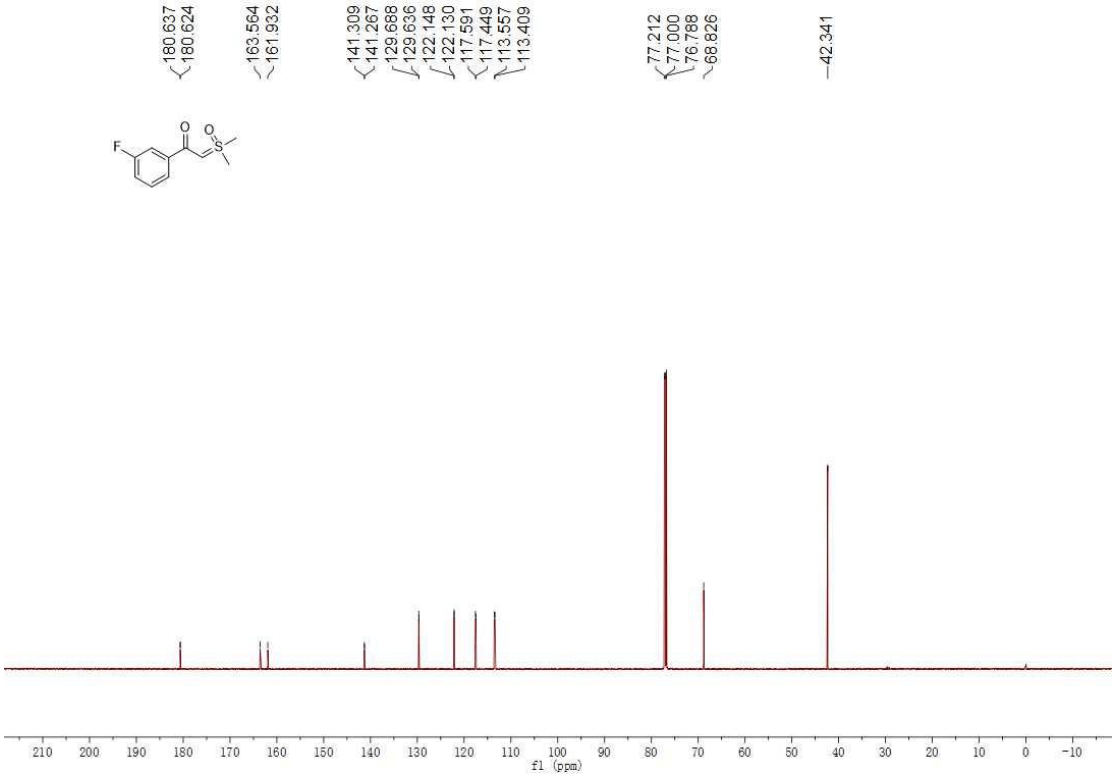
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2i**



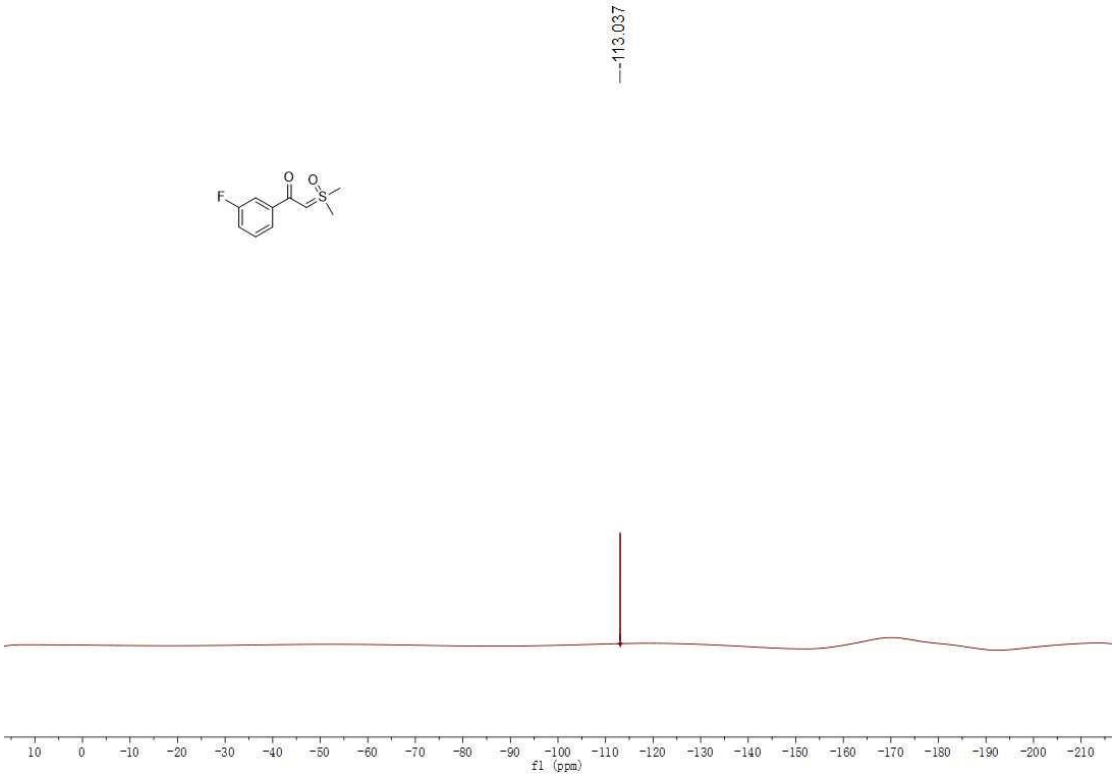
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2j**



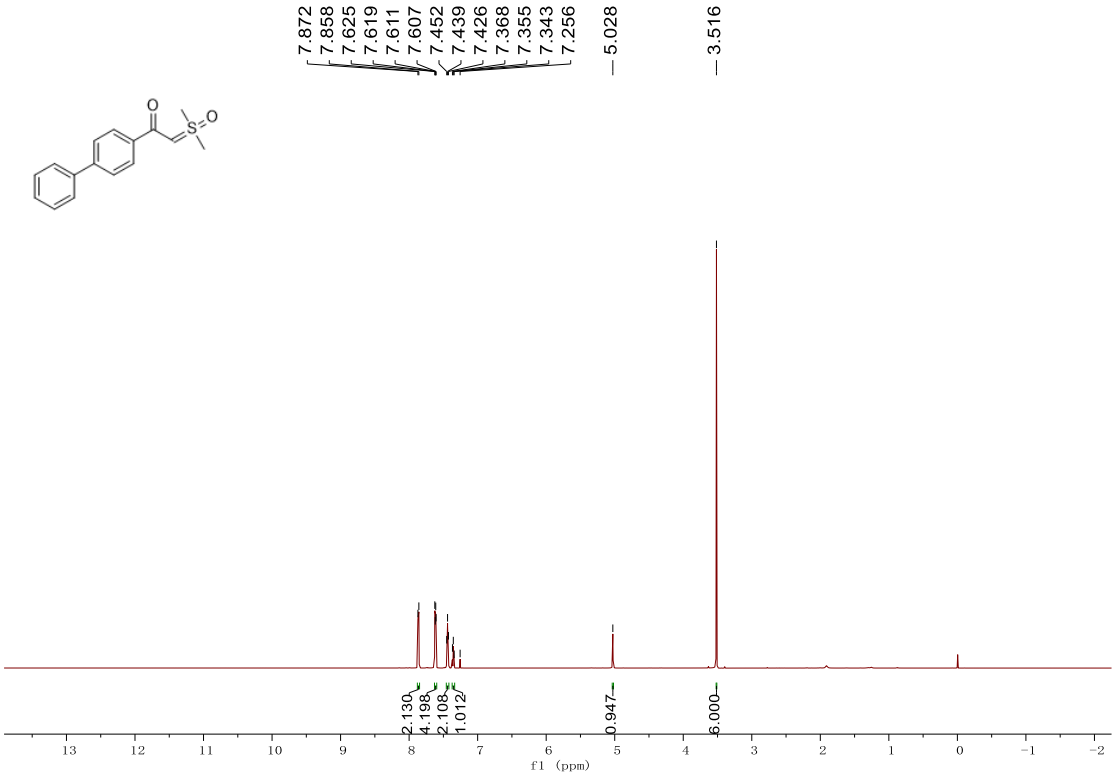
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2j**



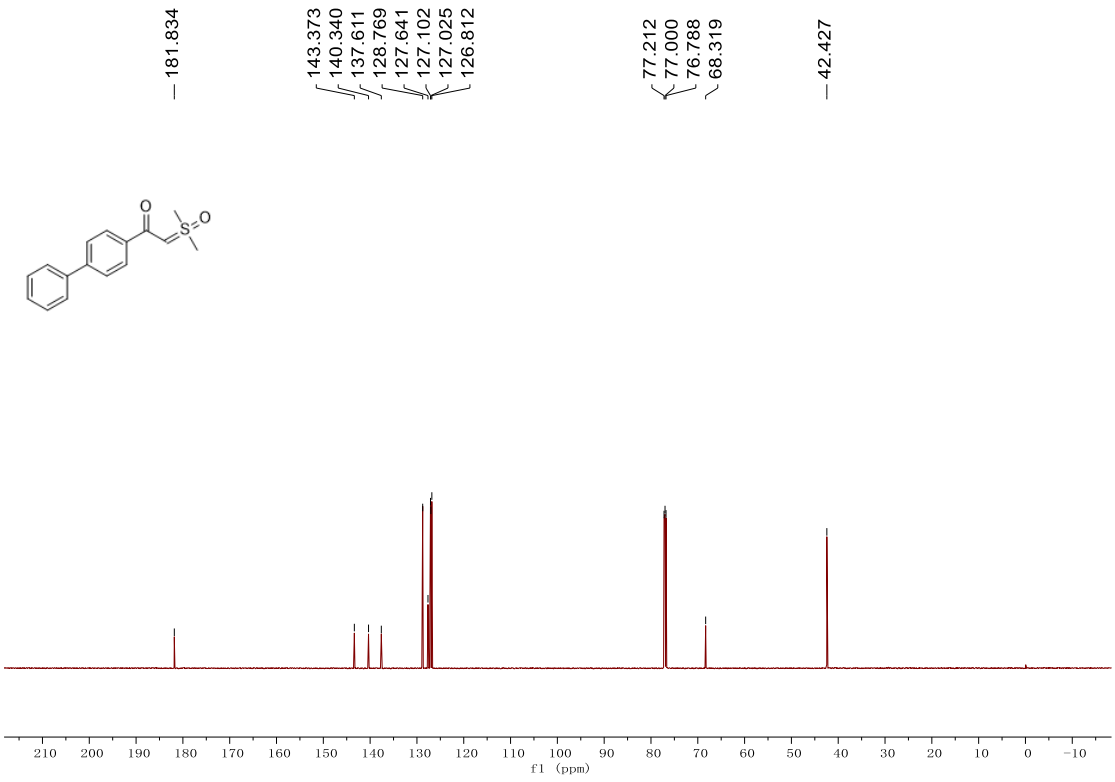
^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **2j**



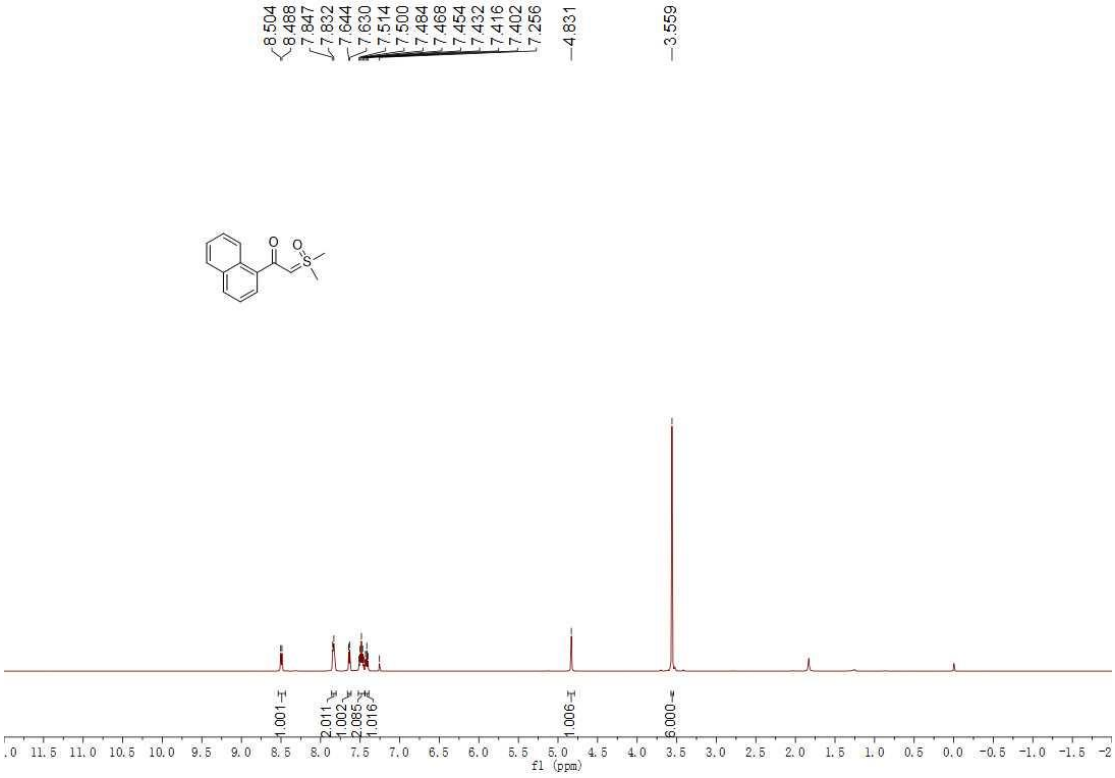
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2k**



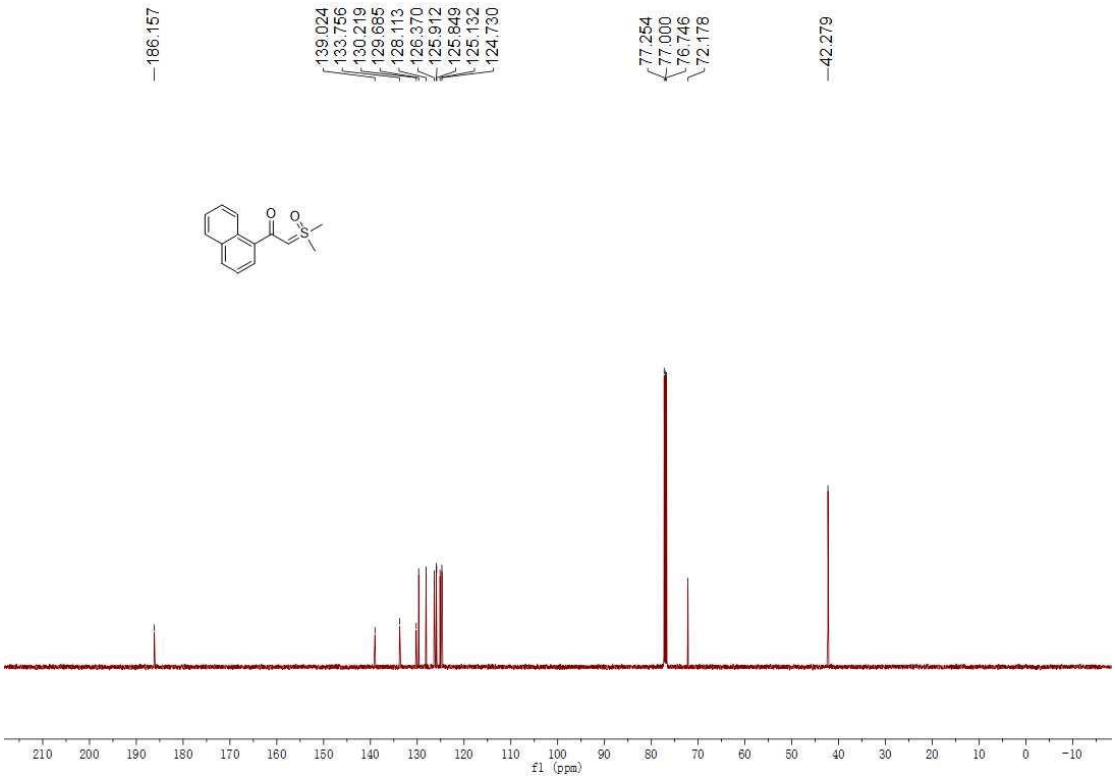
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2k**



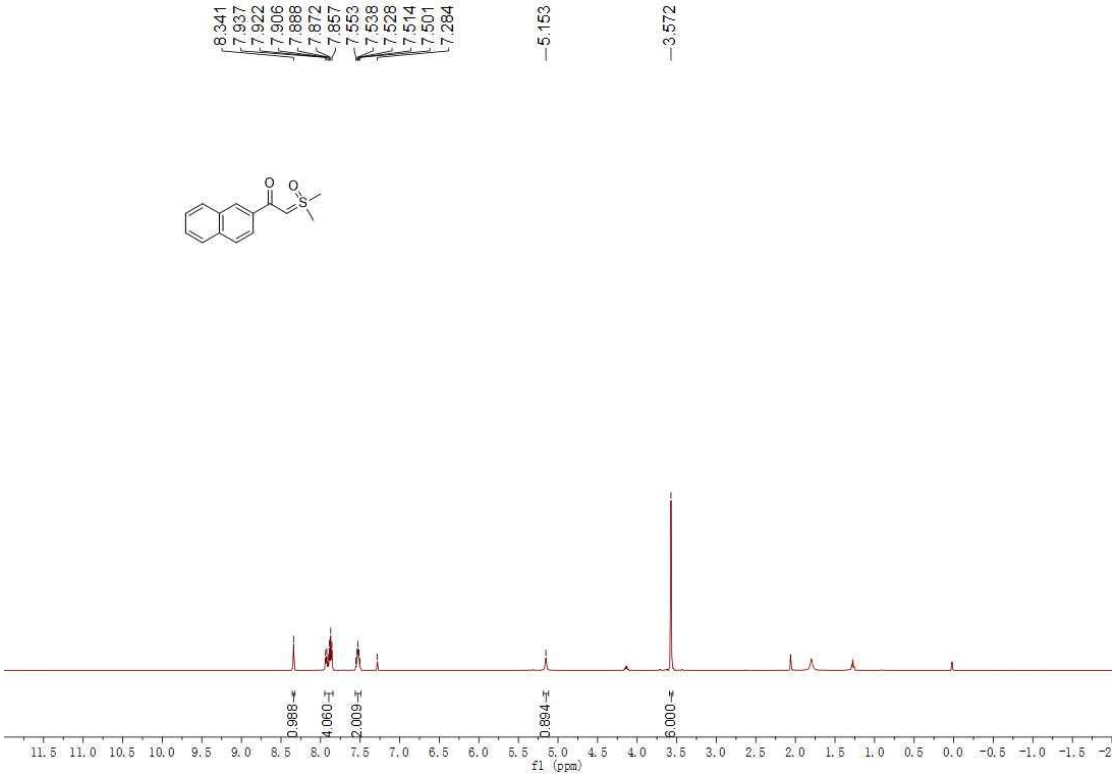
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2l**



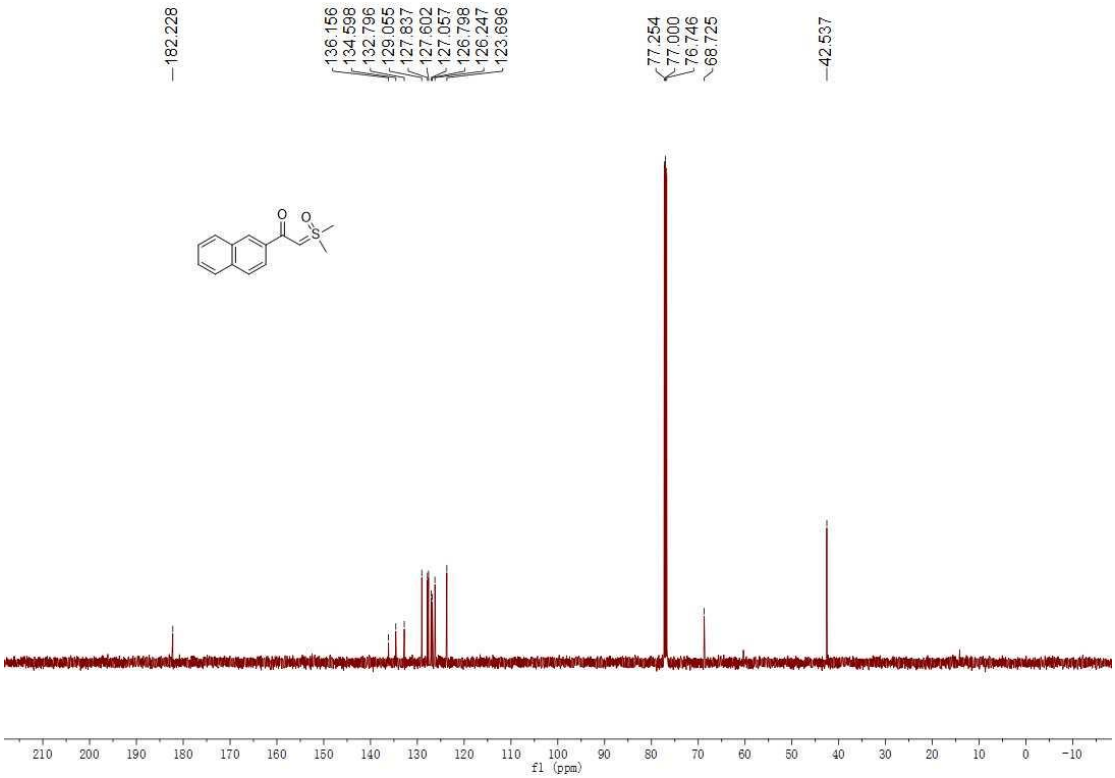
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2l**



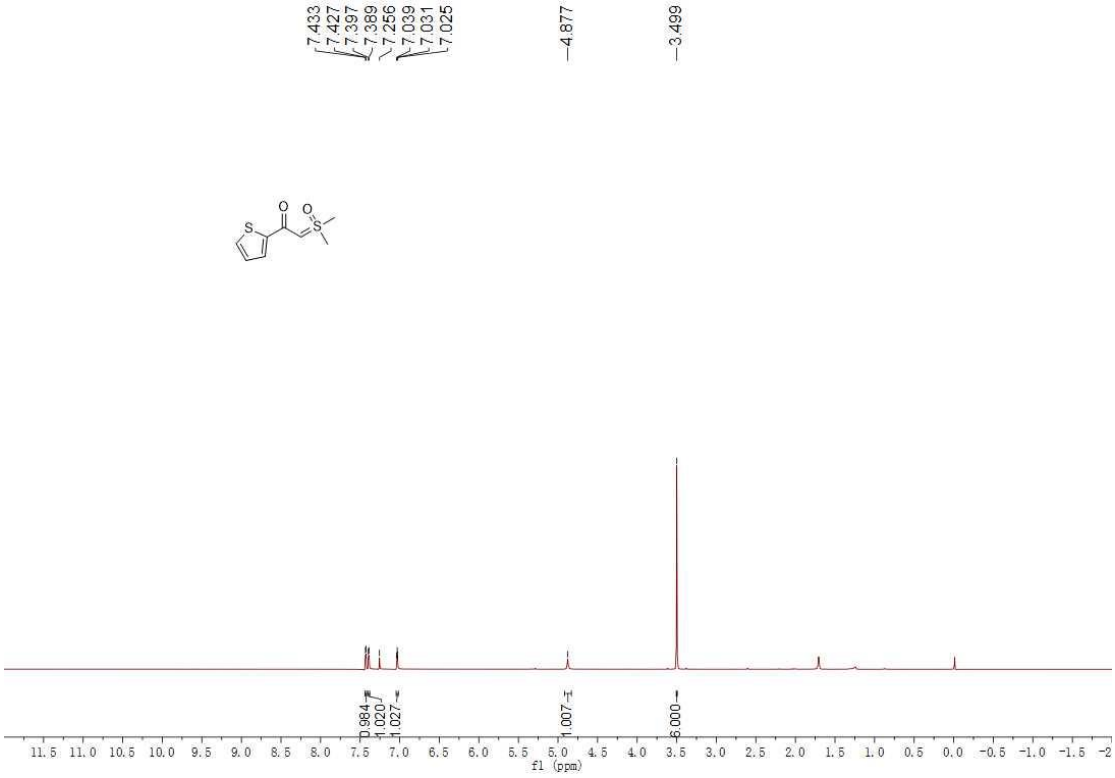
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2m**



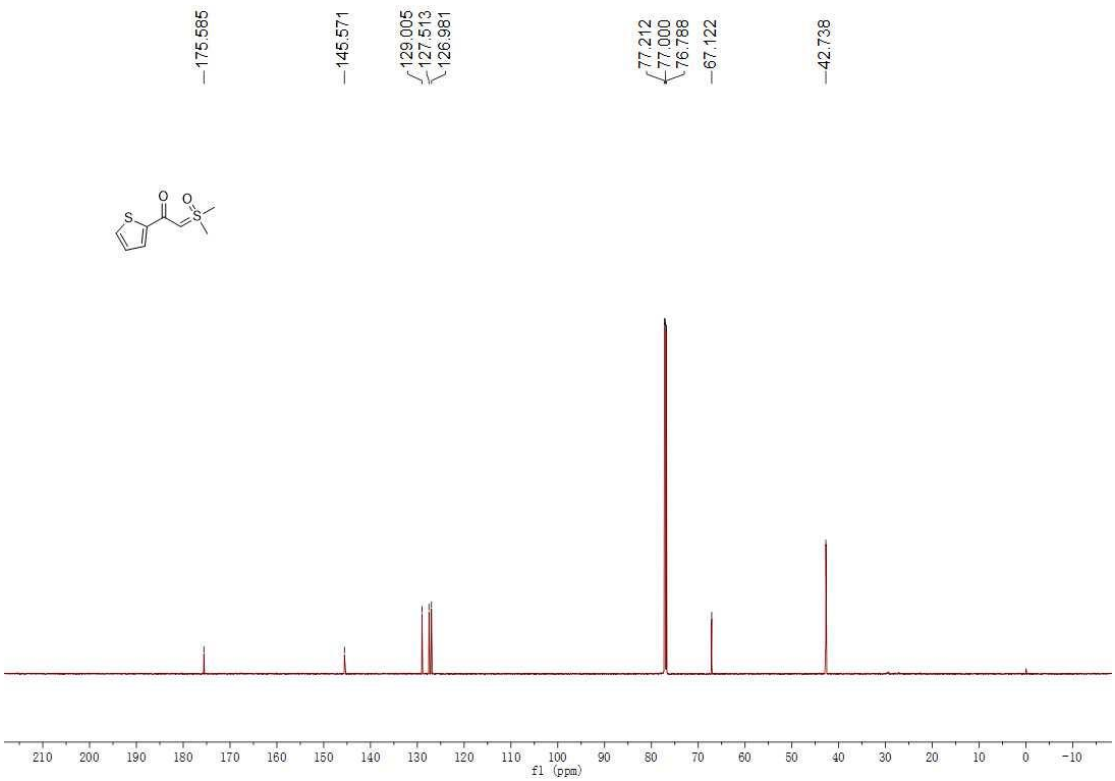
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2m**



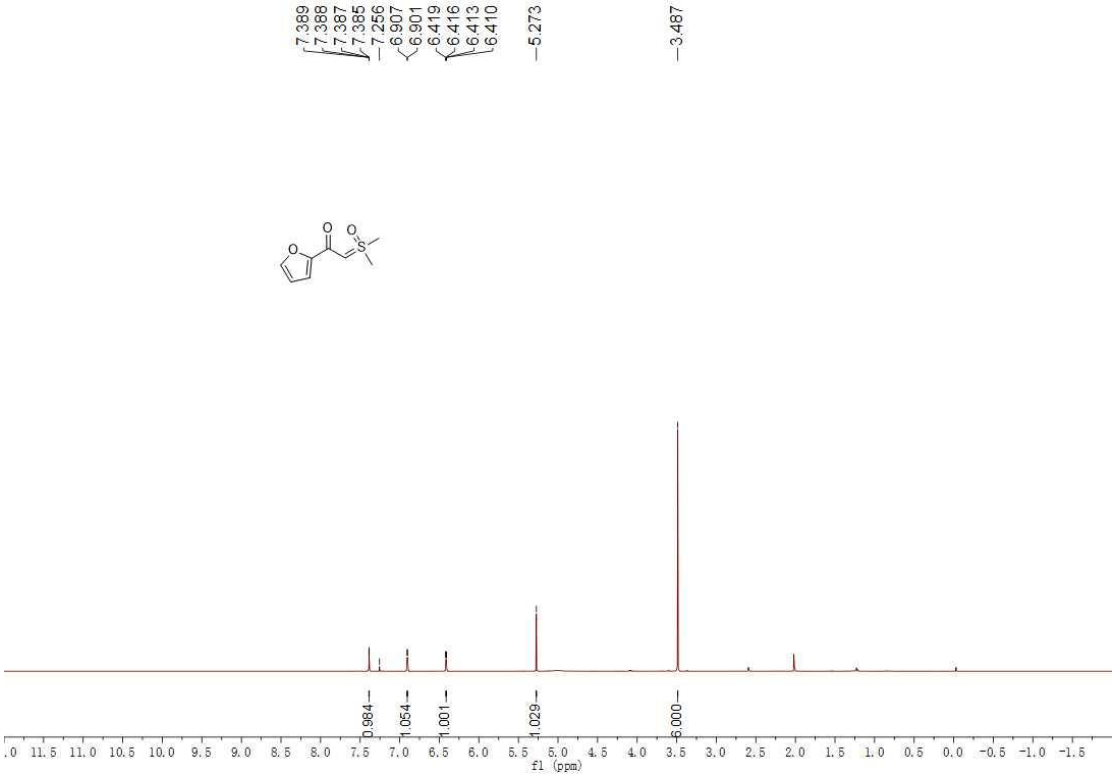
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2n**



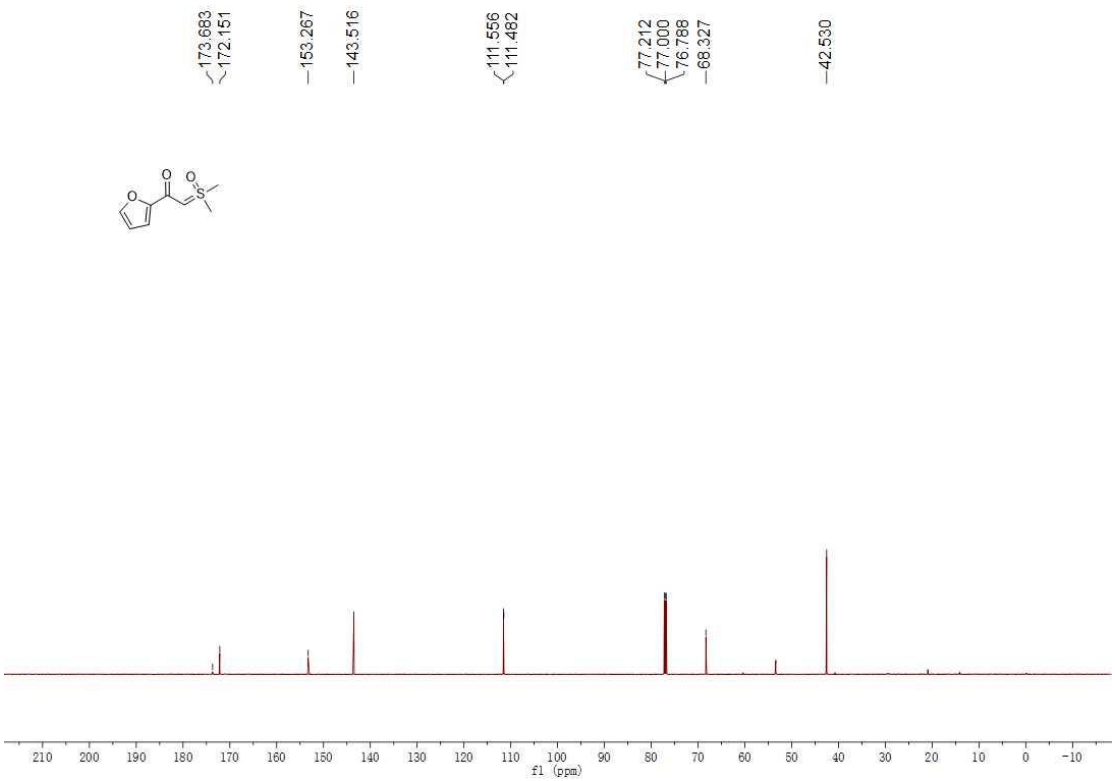
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2n**



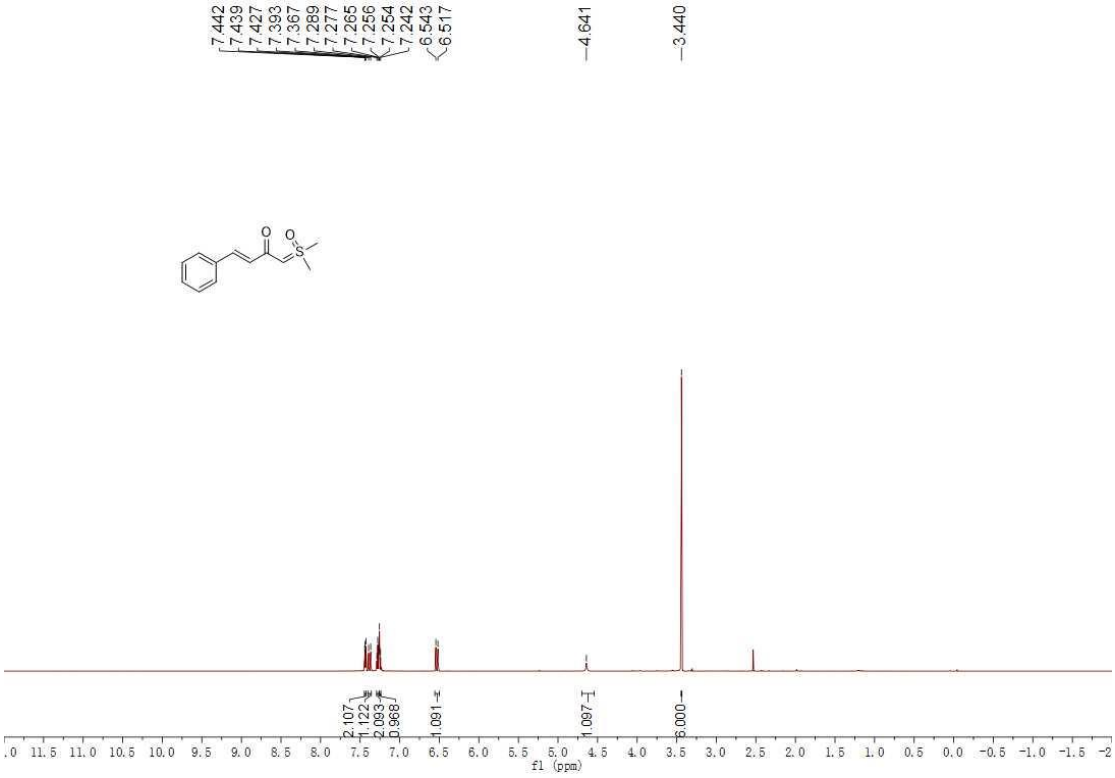
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2o**



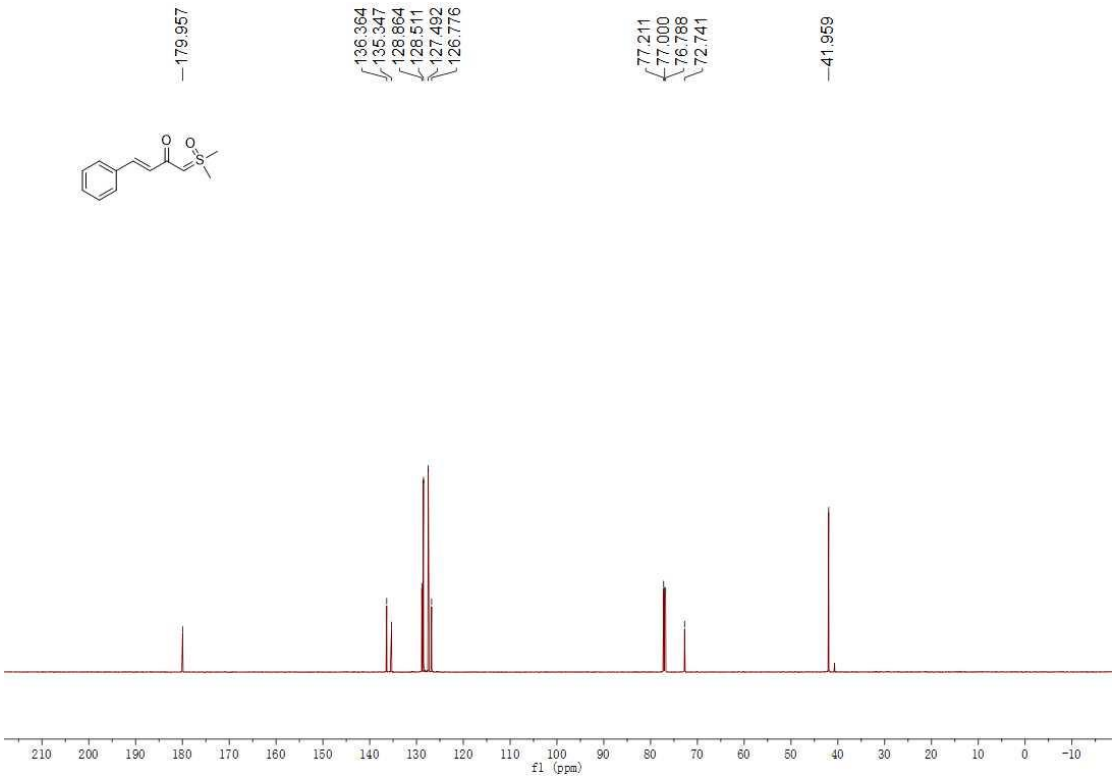
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2o**



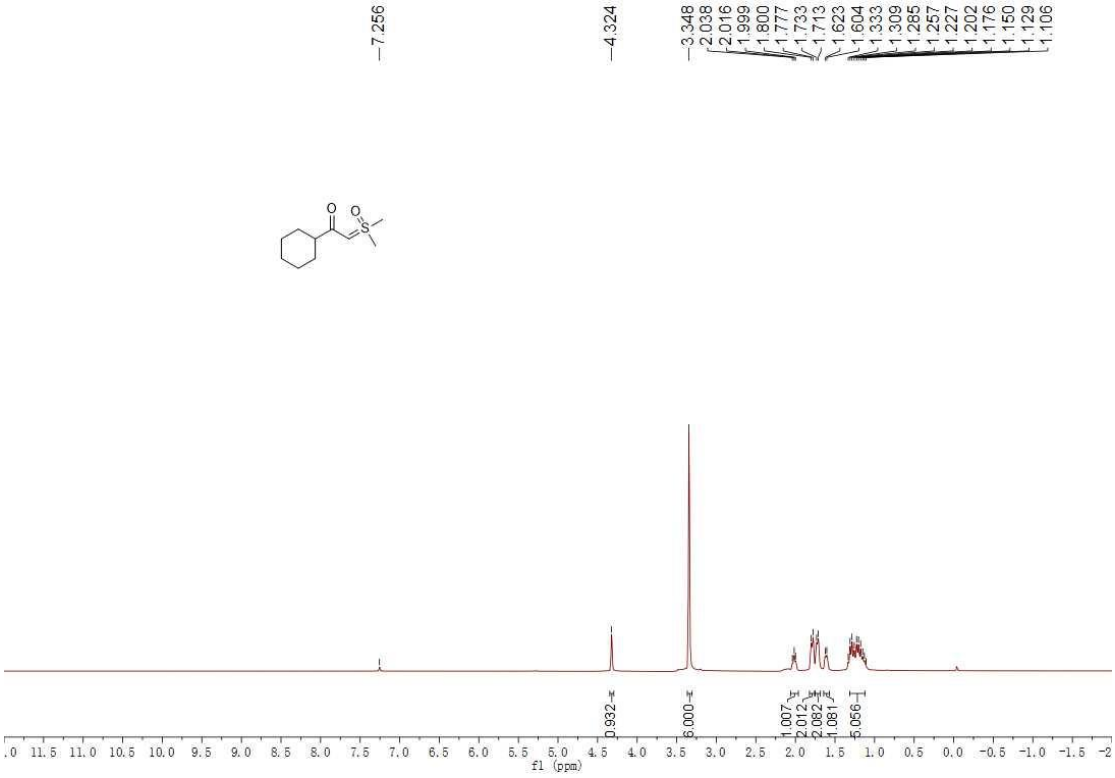
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2p**



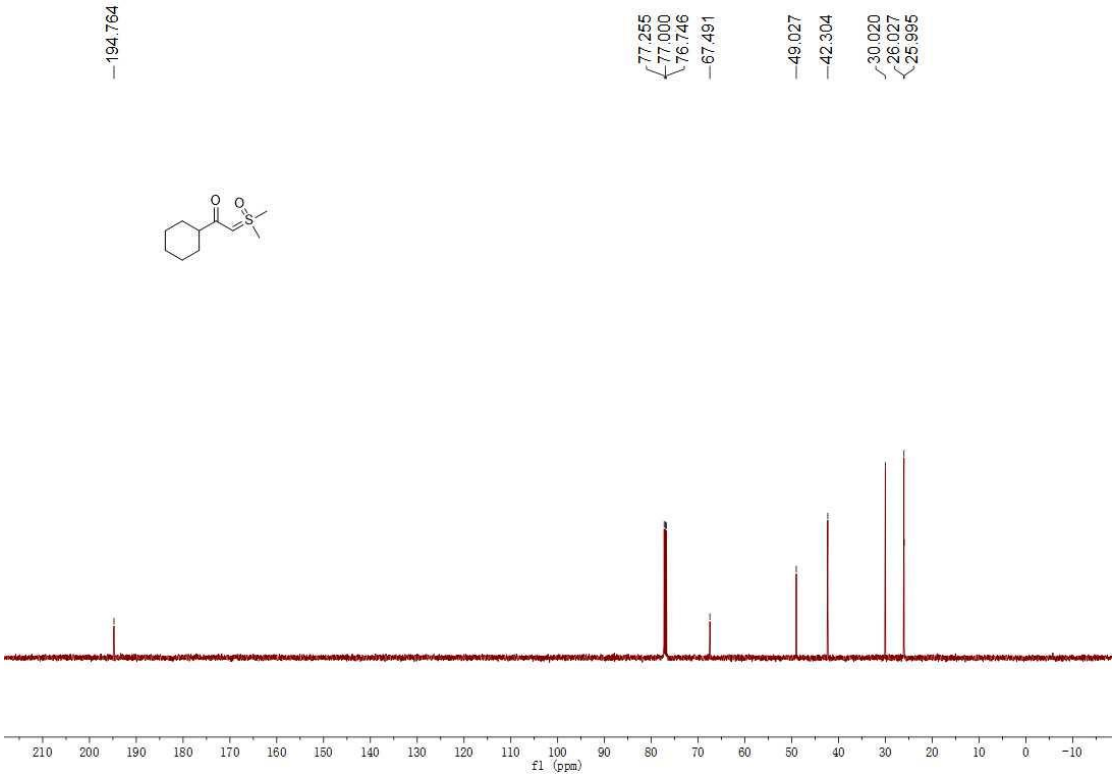
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2p**



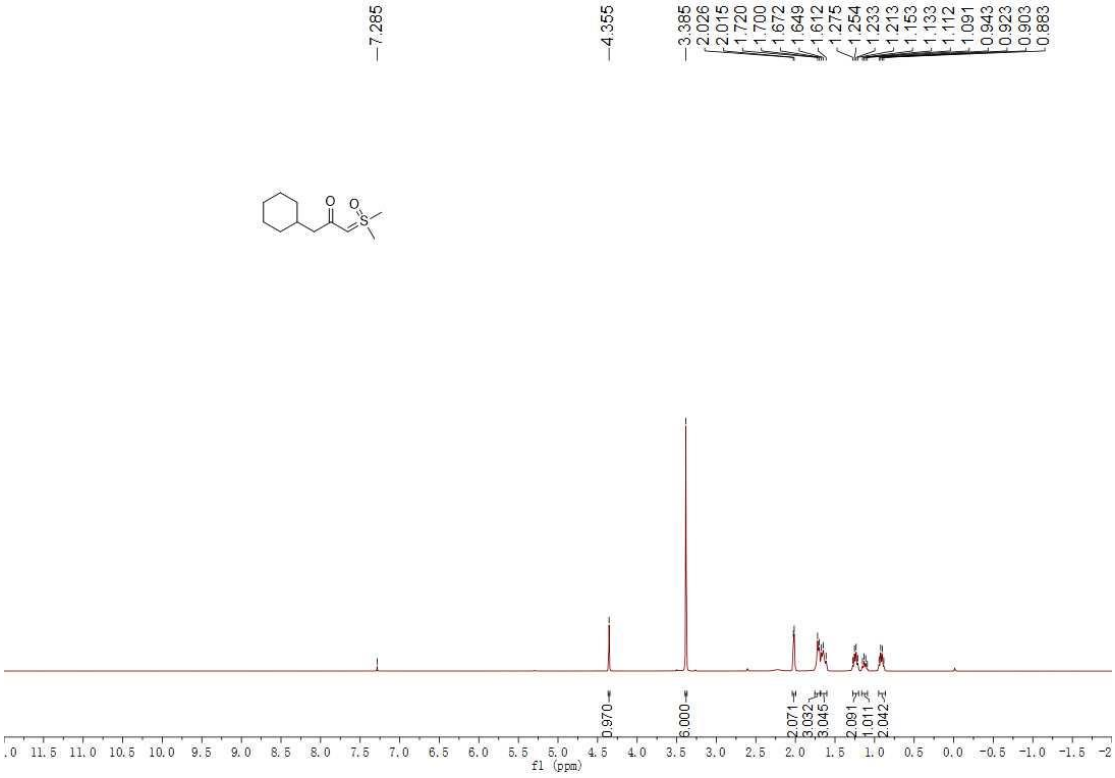
^{1}H NMR (500 MHz, $CDCl_3$) Spectrum of **2q**



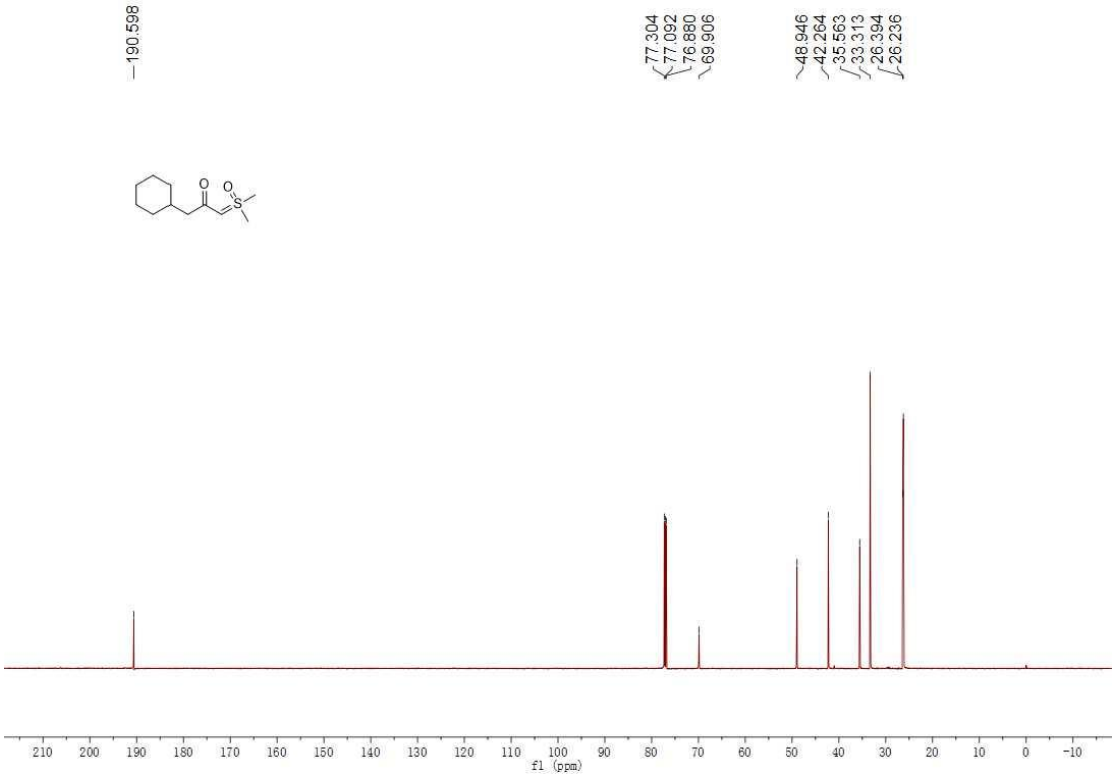
^{13}C NMR (125 MHz, $CDCl_3$) Spectrum of **2q**



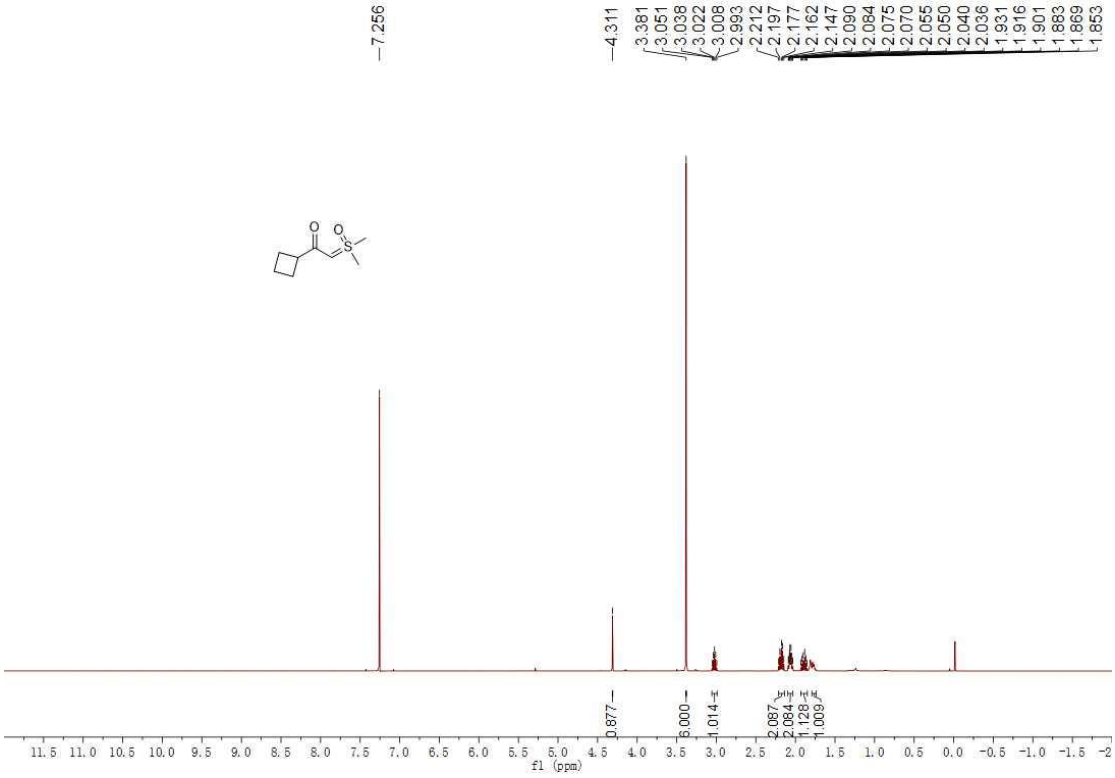
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2r**



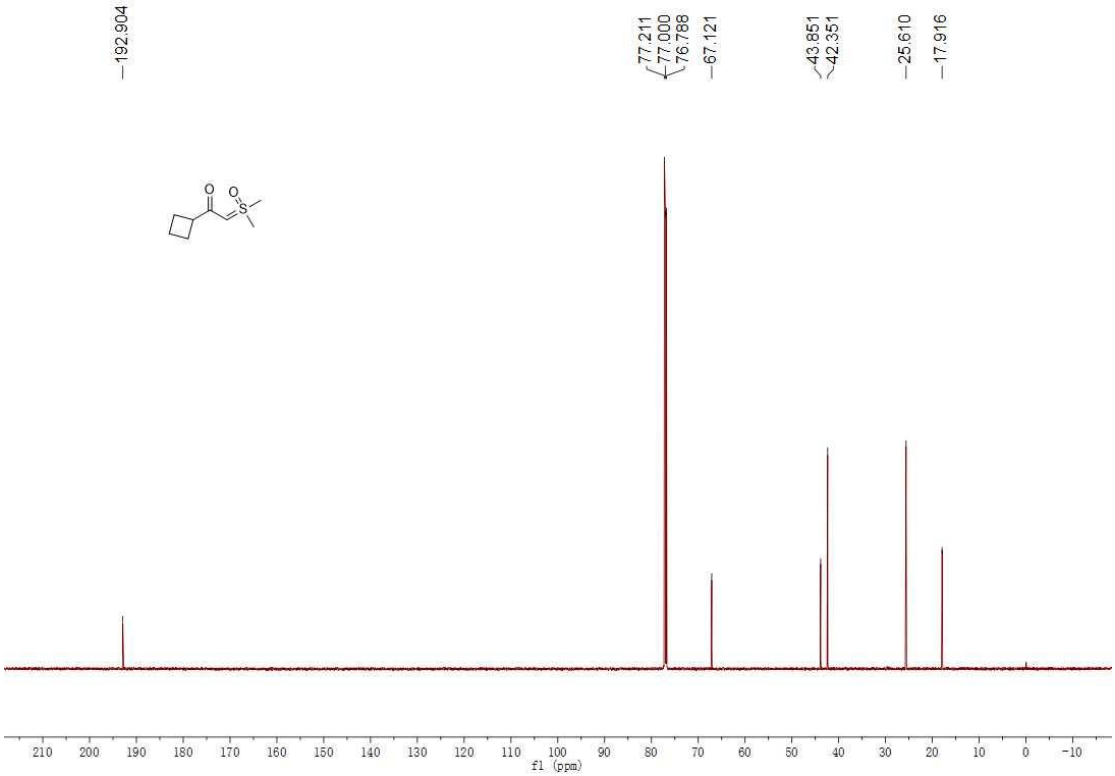
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2r**



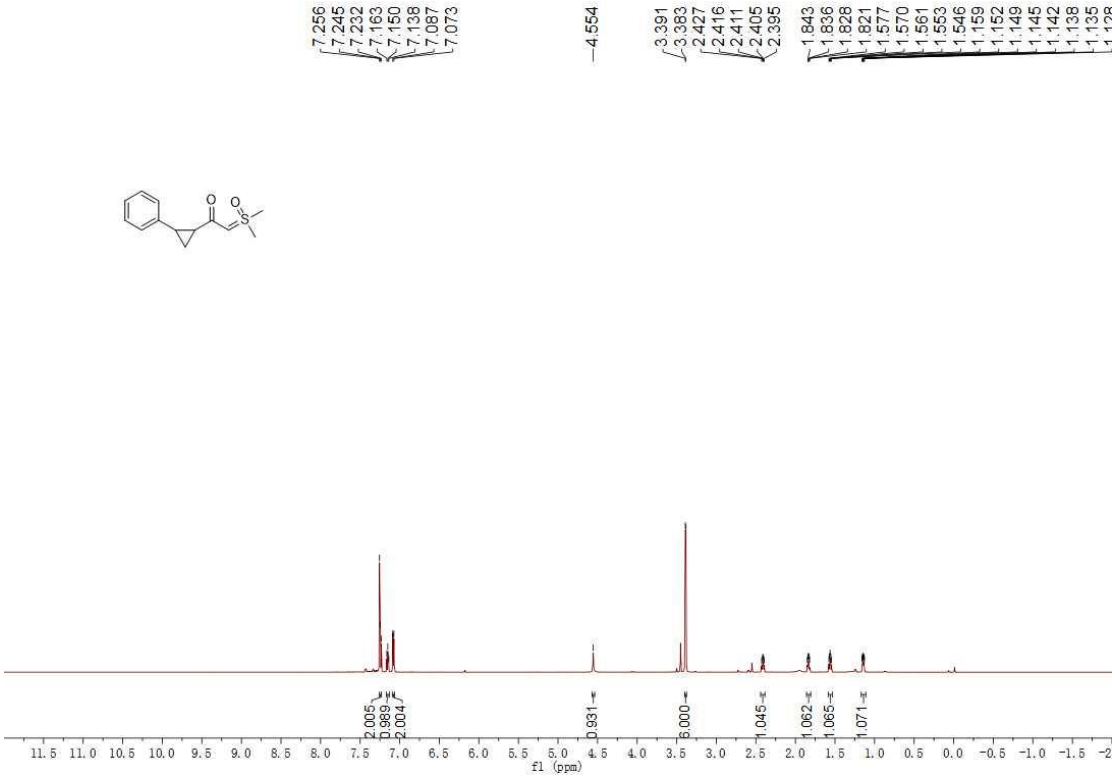
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2s**



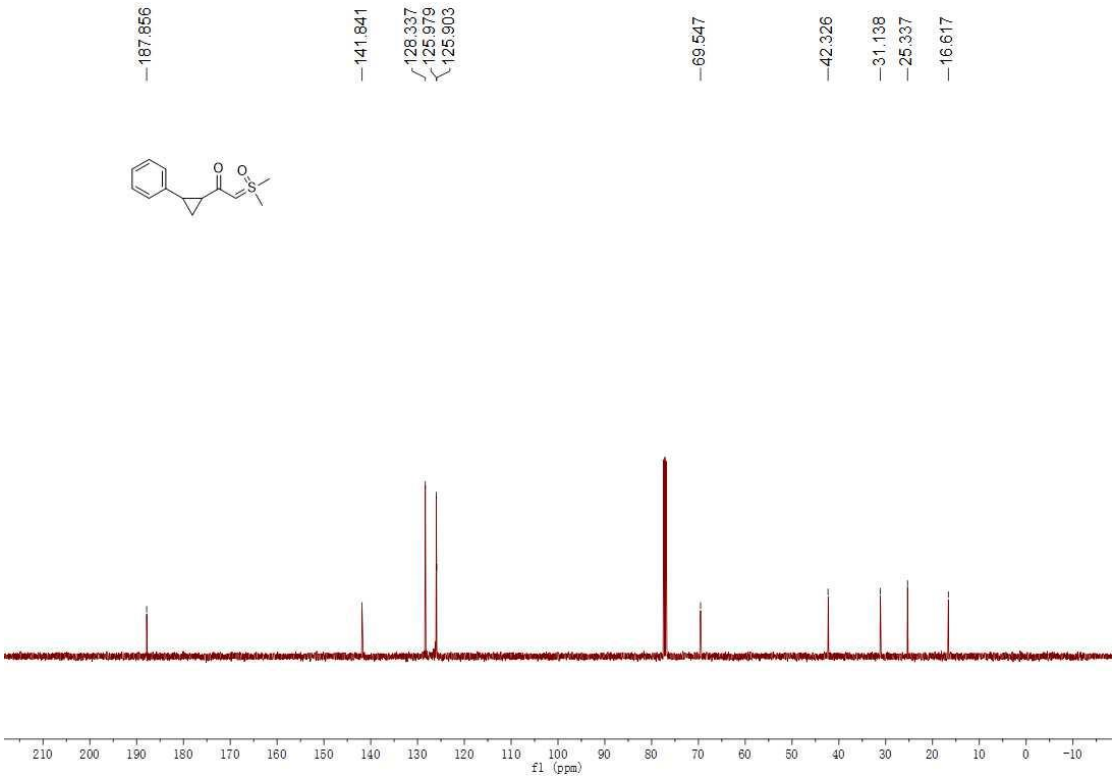
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2s**



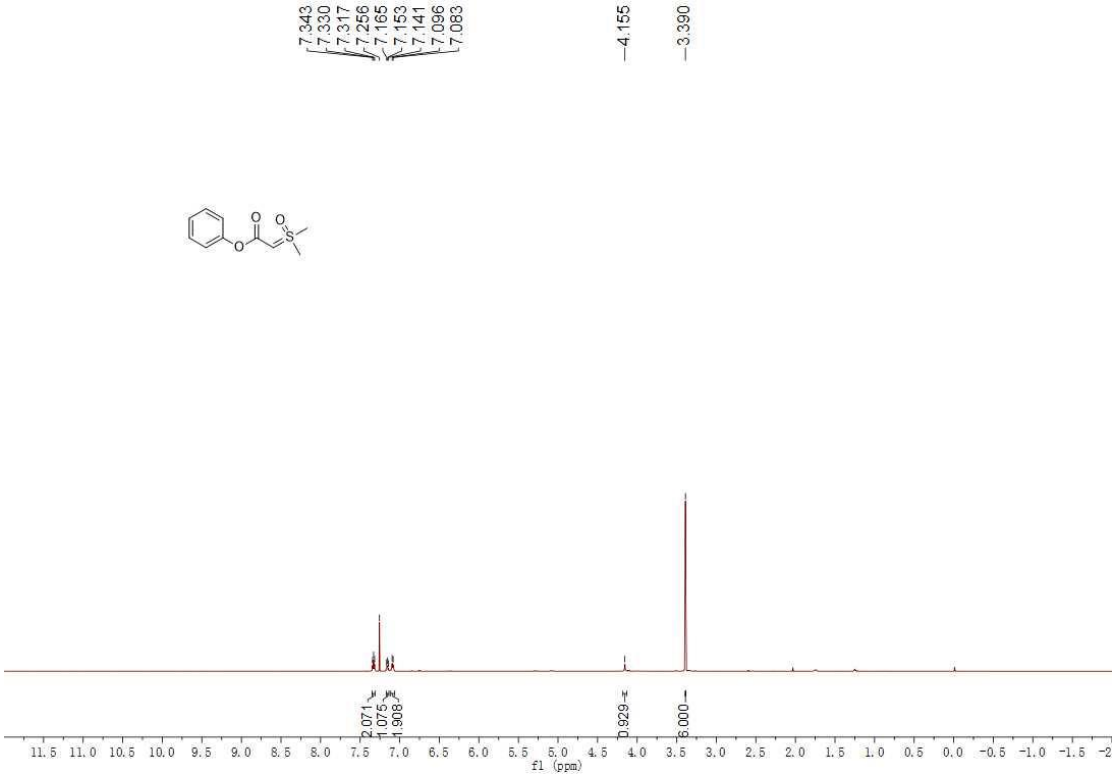
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2t**



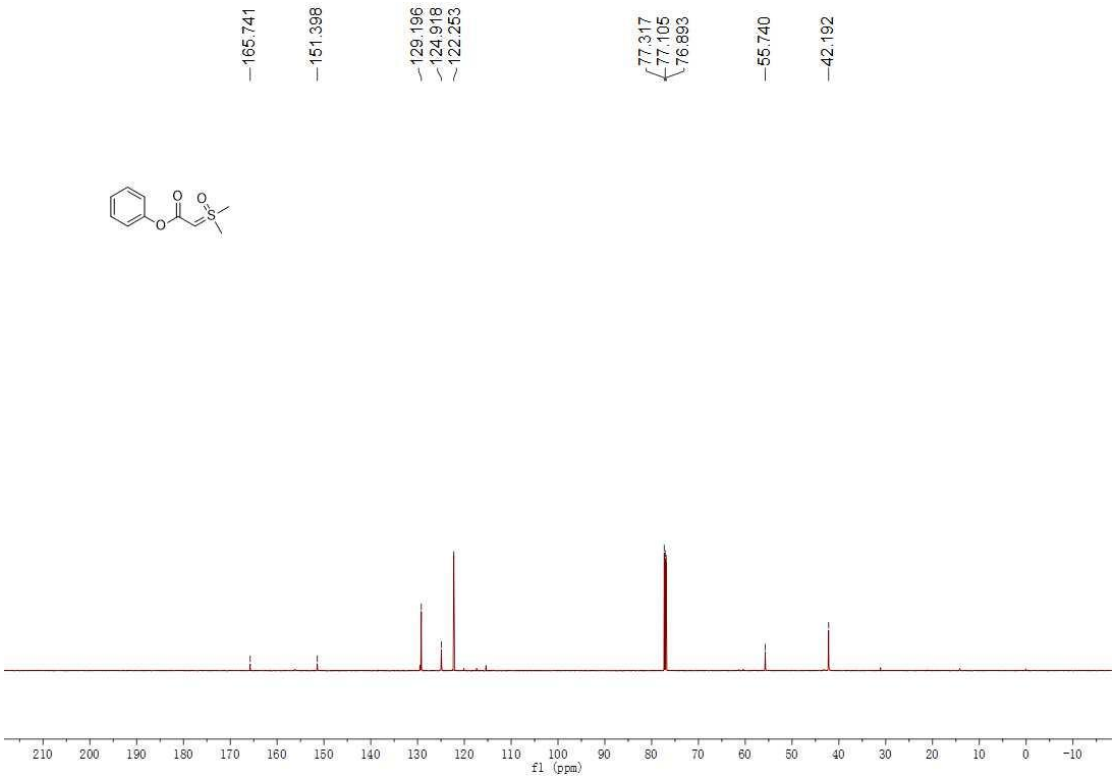
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2t**



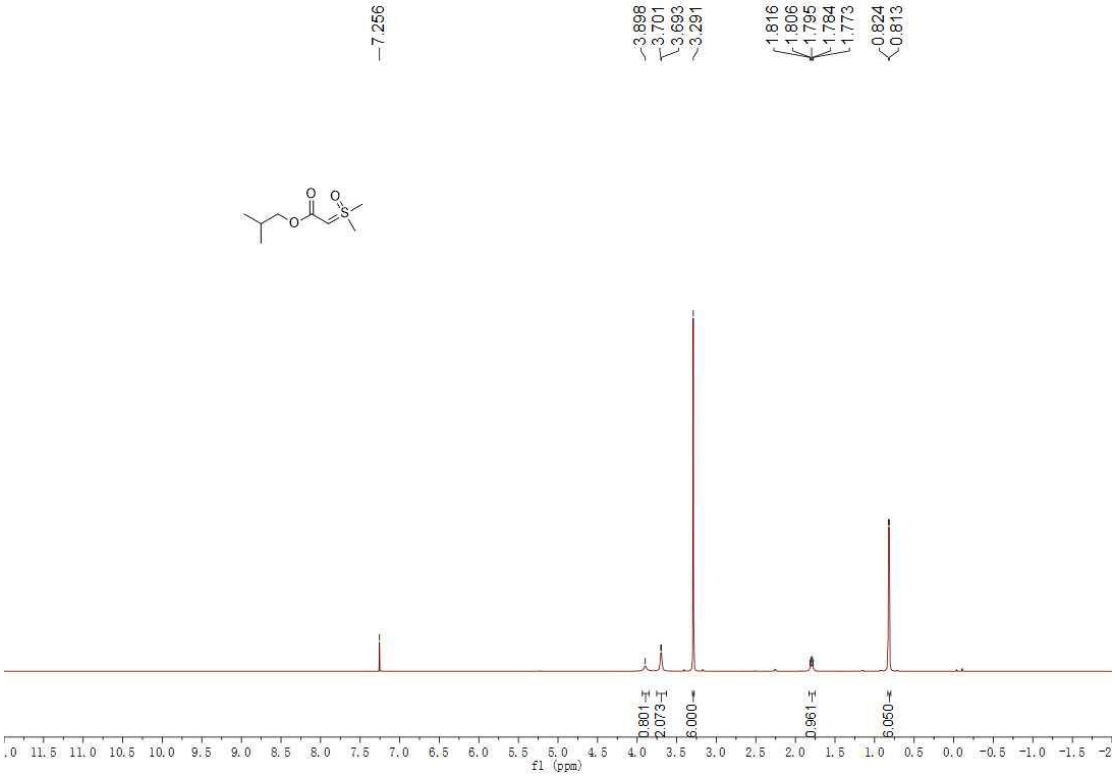
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2u**



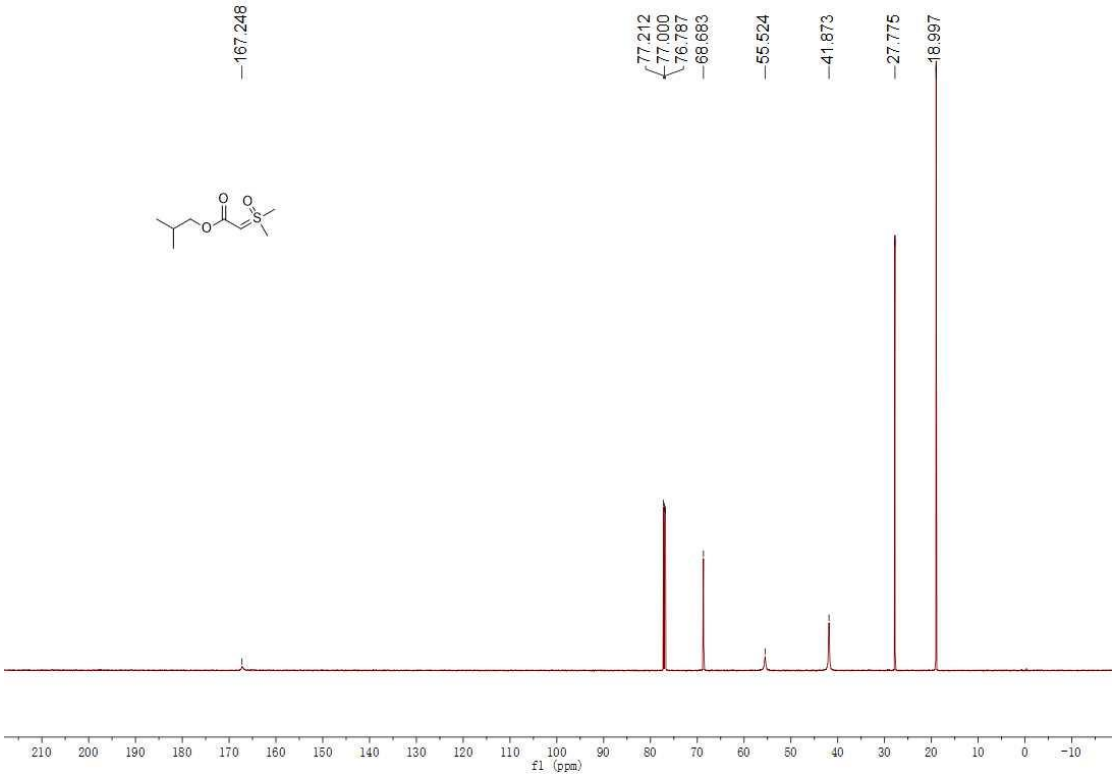
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2u**



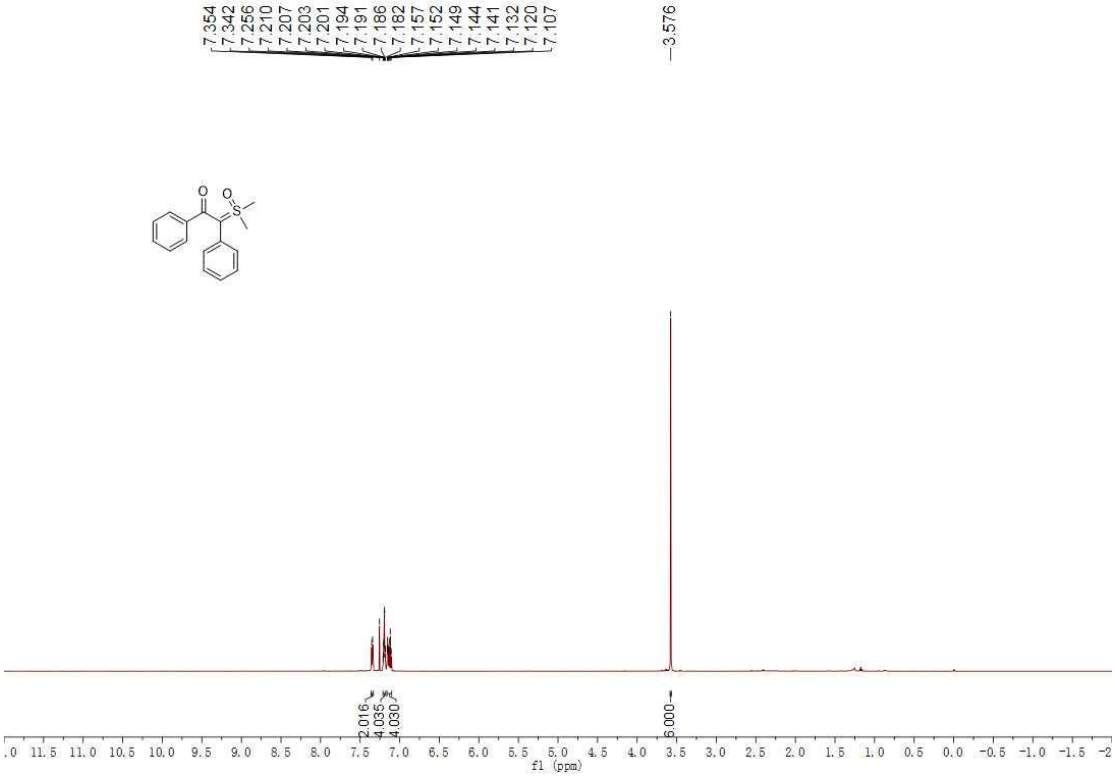
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2v**



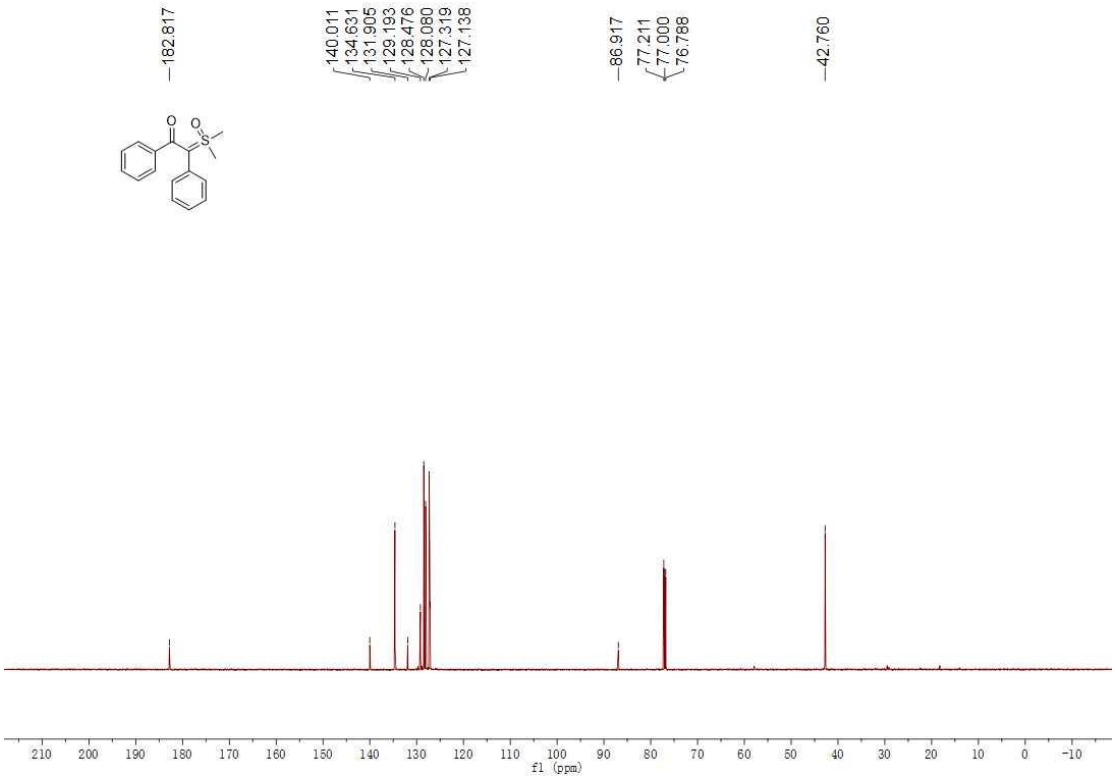
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2v**



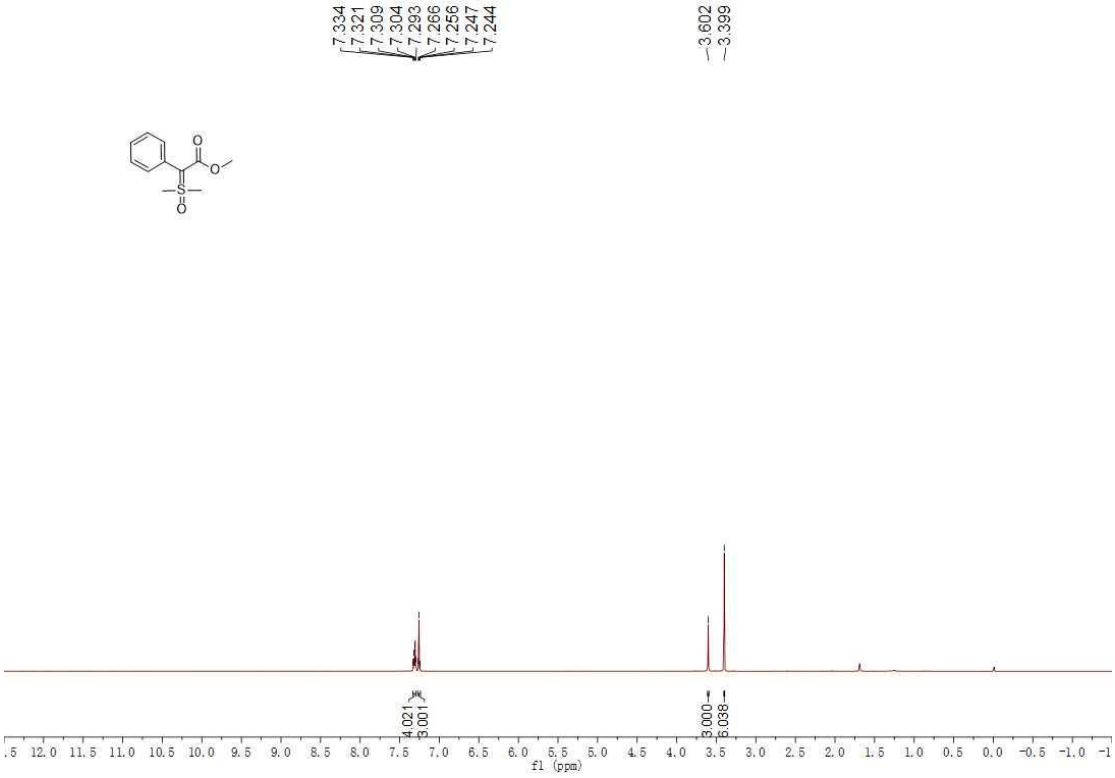
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2w**



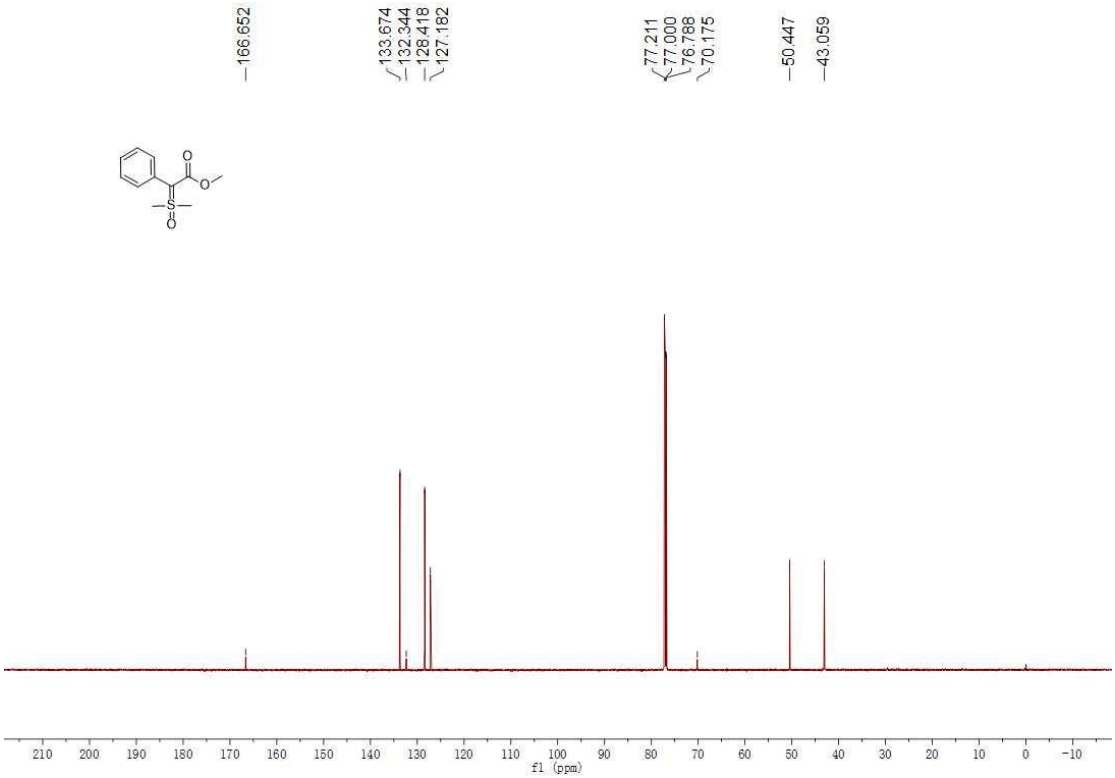
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2w**



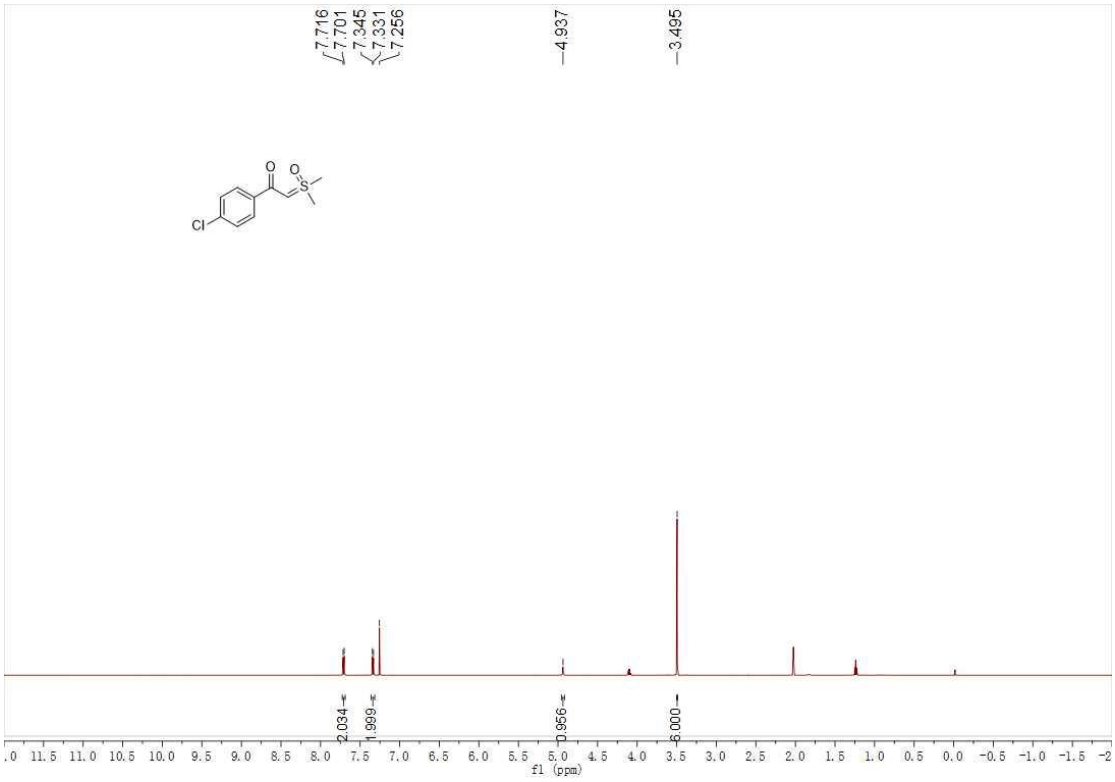
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2x**



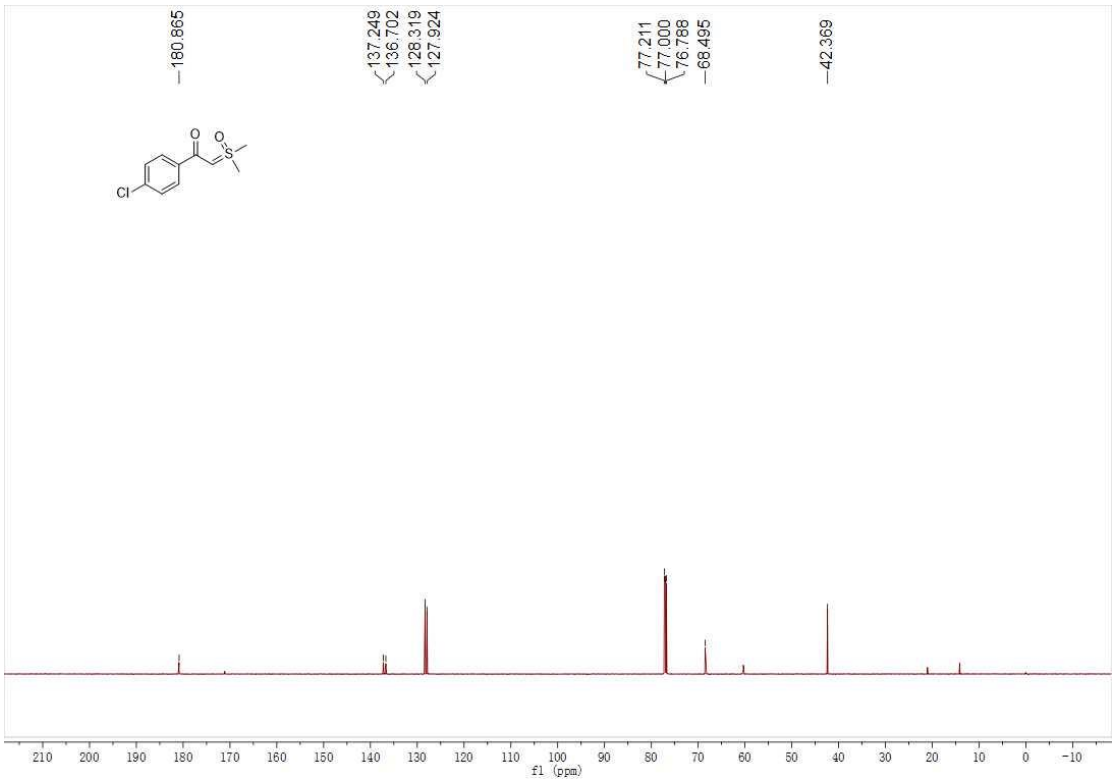
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2x**



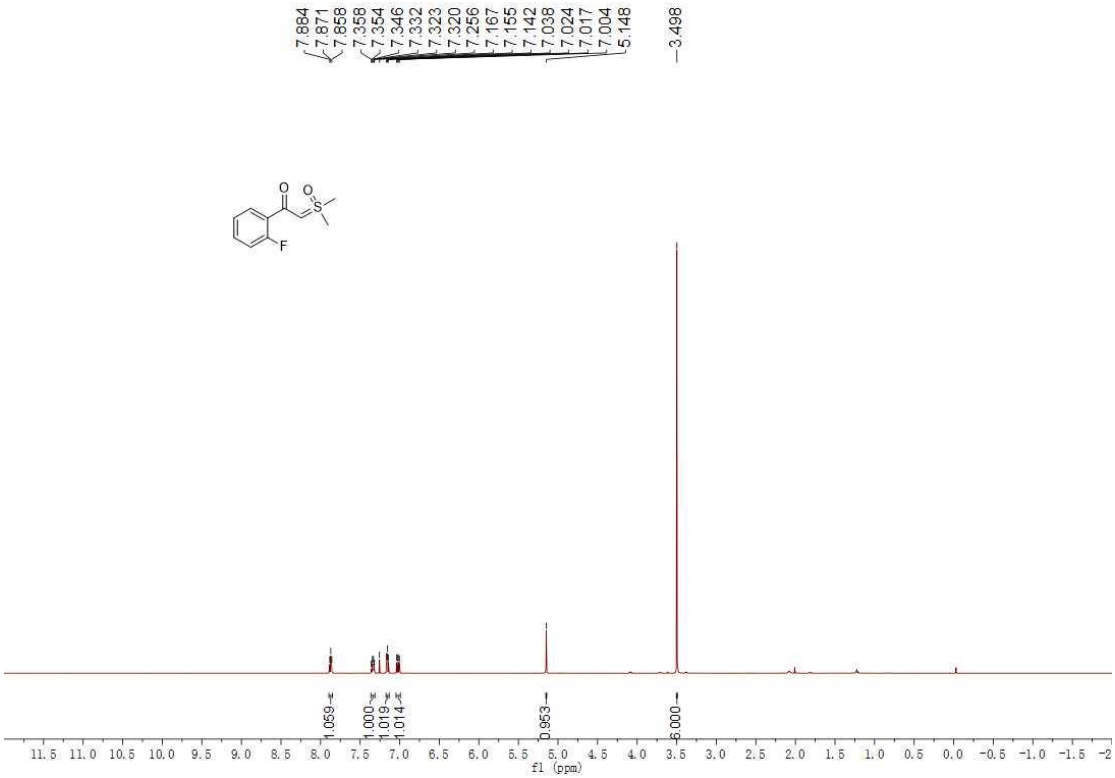
^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2y**



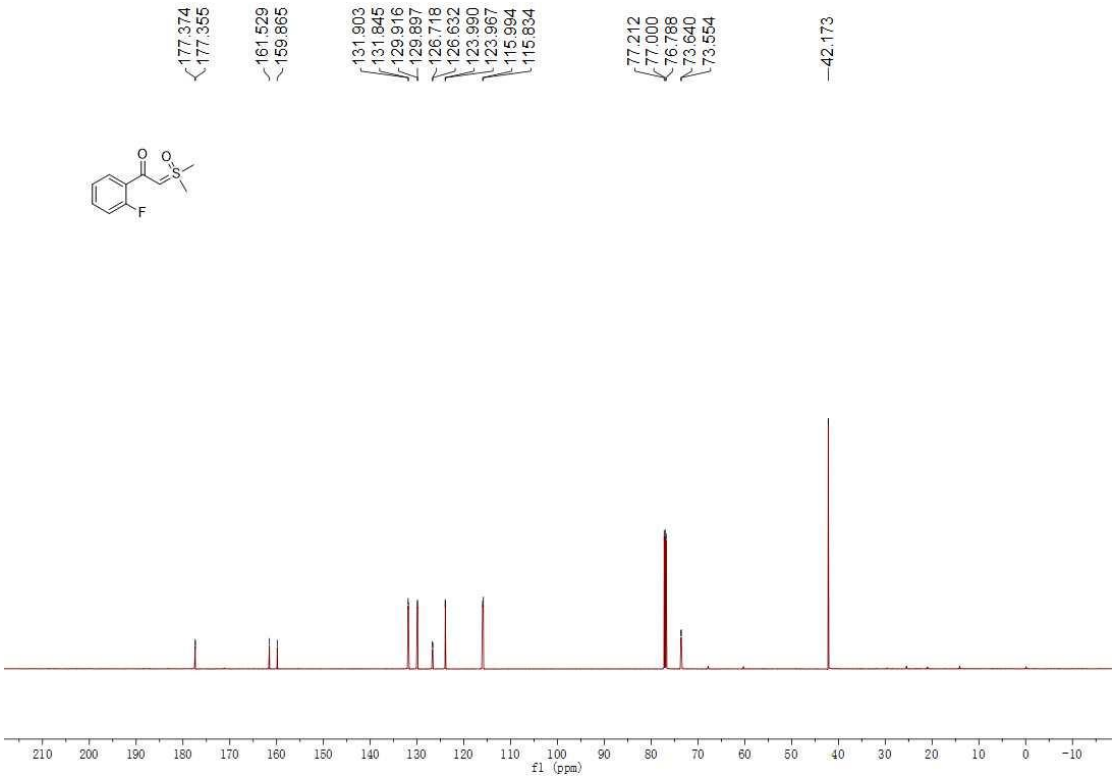
^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2y**



^{1}H NMR (600 MHz, $CDCl_3$) Spectrum of **2z**



^{13}C NMR (150 MHz, $CDCl_3$) Spectrum of **2z**



^{19}F NMR (564 MHz, $CDCl_3$) Spectrum of **2z**