Supporting Information

Expedient Assembly of Densely Functionalized Indanones via Nickel-Catalyzed Alkene Hydroacylation with Methyl Esters

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1. General Information

All NMR spectra were acquired on Bruker 400 MHz NMR spectrometer. ^{1}H NMR chemical shifts were recorded relative to TMS (δ 0.00) or residual solvents ($CDCl_3$: δ 7.26, Acetone-d_6: δ 2.05, DMSO-d_6: 2.50). Multiplicities were given as: s (singlet), d (doublet), t (triplet), q (quartet) and m (multiplet). The number of protons (n) for a given resonance was indicated by nH. Coupling constants were reported as a *J* value in Hz. ^{13}C NMR spectra were obtained at 101 MHz on 400 MHz NMR instruments and chemical shifts were recorded relative to solvent resonance ($CDCl_3$: δ 77.16, Acetone-*d6*: δ 206.26, 29.84, DMSO-d_6: 39.52). ^{19}F NMR spectra were recorded at 376 MHz on 400 MHz NMR spectrometers without any external standard. Proof of purity of new compounds was demonstrated with copies of ^{1}H, ^{13}C, and ^{19}F NMR spectra.

Glassware was dried at 120 °C for at least 3 h before use. THF, 1,4-dioxane and DME were distilled from sodium under argon and stored over activated 5Å molecular sieve beads in an argon-filled glove box. DMF, DMA were distilled from calcium hydride under argon and stored over activated 5Å molecular sieve beads in an argon-filled glove box. MeOH were stored over activated 5Å molecular sieve beads in an argon-filled glove box. Unless noted otherwise, commercially available chemicals were used as received without purification. The GC internal standard, *n*-$C_{12}H_{26}$ was degassed with argon and dried over activated 5Å molecular sieve beads before use.

Reactions occurred at a Heidolph MR Hei-Tec magnetic stirrer equipped with a oil bath or a metal bath of WATTCAS LAB-1000. Analytical thin layer chromatography (TLC) using glass plates (HFGS254) coated with a 0.20 mm silica layer. Flash chromatography was performed using 200-300 mesh silica gel. Gas chromatography (GC) analysis was performed on a Shimadzu GC-2030 instrument with Agilent J & W GC column DB-5MS-UI. GC/MS analysis was conducted on Shimadzu GCMS-QP2010 instrument with Agilent J & W GC column DB-5MS-UI. ESI/MS analysis was conducted on Shimadzu LCMS-8030 spectrometer. ESI/HRMS analysis was conducted on Bruker impact II.

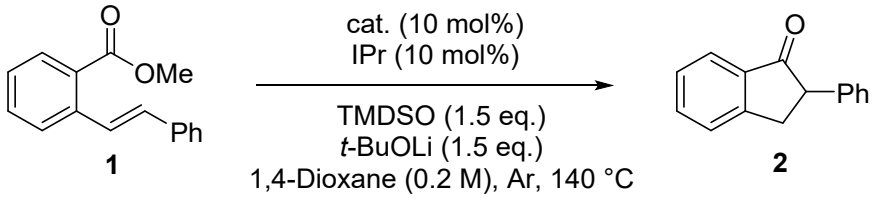
2. Experimental Section

2.1 Condition Optimization

A typical procedure for condition optimization

In an argon-filled glove box, transition-metal salts (0.01 mmol, 10 mol%), ligand (0.01 mmol, 10 mol%) and anhydrous solvent (0.5 mL) were charged into a dry 15-mL Schlenk tube. After stirring for about 10 min at room temperature, **1** (0.1 mmol), H source (0.15 mmol, 1.5 equiv.), base (0.15 mmol, 1.5 equiv), and GC standard *n*-dodecane (10 μL) were added sequentially. The reaction mixture was heated with vigorous stirring in a metal bath at 140 °C for 12 h. The reaction mixture was cooled to room temperature and an aliquot of the reaction mixture was passed through a short plug of silica gel with ethyl acetate washings. The filtrate was subjected to GC to determine the GC yield of the desired product **2** and byproducts.

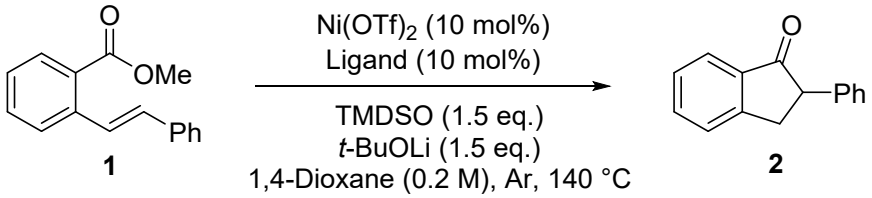
Table S1. Screening of transition metal salts.[a]



Entry	Metal Salts	GC Yield (%)[b]
1	$NiCl_2$·DME	30
2	$NiBr_2$·DME	37
3	NiI_2	27
4	$Ni(OAc)_2$	67
5	$Ni(acac)_2$	59
6	**$Ni(OTf)_2$**	**79**
7	$Ni(cod)_2$	64
8	$CoCl_2$	17
9	$CoBr_2$	19
10	CoI_2	22
11	$Pd(OAc)_2$	ND[c]
12	$Pd(PPh_3)_4$	ND[c]

[a]Reaction Conditions: **1** (0.1 mmol), Metal salt (0.01 mmol, 10 mol%), IPr (0.01 mmol, 10 mol%), *t*-BuOLi (0.15 mmol, 1.5 eq.) and TMDSO (0.15 mmol, 1.5 eq.) in 1,4-dioxane at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard. [c]Not detected.

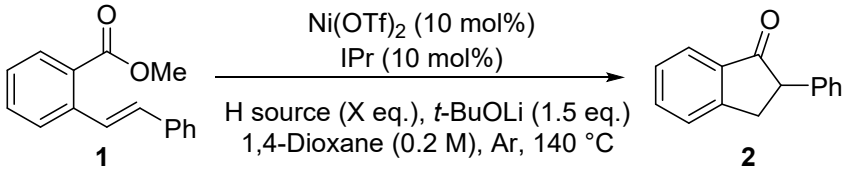
Table S2. Screening of ligands [a]



Entry	Ligand	GC Yield (%)[b]
1	DPPE	ND[c]
2	DPPF	ND[c]
3	Xantphos	ND[c]
4	$PCy_3 \cdot HBF_4$	5
5	$P(t\text{-}Bu)_3 \cdot HBF_4$	<5
6	2,2'-bipyridine	<5
7	1,10-phenanthroline	<5
8	SIPr	50
9	$ICy \cdot HBF_4$	<5
10	**IPr**	**79**
11	IMes·HCl	14
12	SIMes·HCl	<5

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$ (0.01 mmol, 10 mol%), ligand (0.01 mmol, 10 mol%), *t*-BuOLi (0.15 mmol, 1.5 eq.) and TMDSO (0.15 mmol, 1.5 eq.) in 1,4-dioxane at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard. [c]Not detected.

Table S3. Screening of H source and its equivalents.[a]

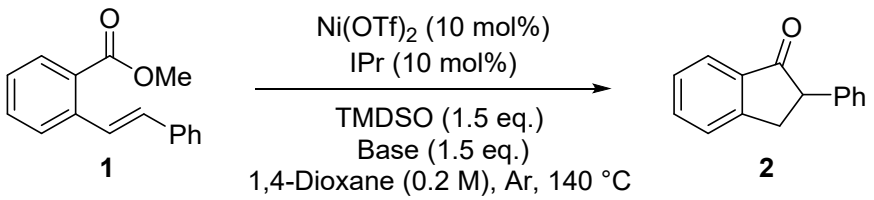


Entry	H source	X	GC Yield (%)[b]

1	NaH	1.2	<5
2	$NaBH_4$	1.2	ND[c]
3	$LiAlH_4$	1.2	7
4	Et_3SiH	1.2	60
5	Ph_3SiH	1.2	41
6	$Me(EtO)_2SiH$	1.2	12
7	$Me(MeO)_2SiH$	1.2	49
8	Me_2PhSiH	1.2	53
9	**TMDSO**	**1.2**	**72**
10	$PhSiH_3$	1.2	ND[c]
11	MeOH	1.5	ND[c]
12	*i*-PrOH	1.5	ND[c]
13	BnOH	1.5	ND[c]
14	$PhCH(CH_3)OH$	1.5	ND[c]
15	HCOONa	1.5	ND[c]
16	TMDSO	1.0	68
17	**TMDSO**	**1.5**	**79**
18	TMDSO	1.7	72
19	TMDSO	2.0	71
20	TMDSO	2.2	67
21	TMDSO	4.0	72

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$ (0.01 mmol, 10 mol%), IPr (0.01 mmol, 10 mol%), *t*-BuOLi (0.15 mmol, 1.5 eq.) and H source (0.12 mmol, 1.2 eq.) in 1,4-dioxane at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard. [c]Not detected.

Table S4. Screening of bases.[a]

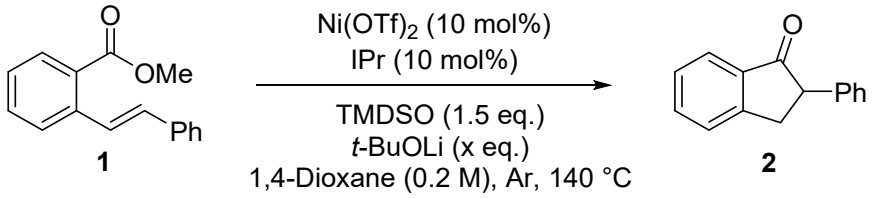


Entry	Base	GC Yield (%)[b]

1	CH_3OLi	49
2	CH_3ONa	53
3	CH_3OK	19
4	***t*-BuOLi**	**79**
5	*t*-BuONa	34
6	*t*-BuOK	ND[c]
7	Na_2CO_3	ND[c]
8	K_2CO_3	19
9	K_3PO_4	27
10	NaOAc	17
11	LiOH	30
12	NaOH	42

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$ (0.01 mmol, 10 mol%), IPr (0.01 mmol, 10 mol%), base (0.15 mmol, 1.5 eq.) and TMDSO (0.15 mmol, 1.5 eq.) in 1,4-dioxane at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard. [c]Not detected.

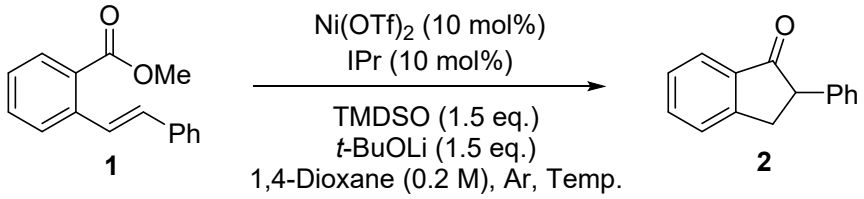
Table S5. Screening of base equivalents.[a]



Entry	*t*-BuOLi (x eq.)	GC Yield (%)[b]
1	0.5 eq.	28
2	1.0 eq.	73
3	**1.5 eq.**	**79**
4	2.0 eq.	78
5	3.0 eq.	25
6	4.0 eq.	18

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$ (0.01 mmol, 10 mol%), IPr (0.01 mmol, 10 mol%), *t*-BuOLi (x eq.) and TMDSO (0.15 mmol, 1.5 eq.) in 1,4-dioxane at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard.

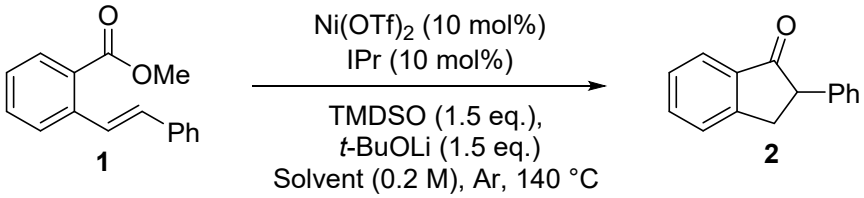
Table S6. Screening of reaction temperature.[a]



Entry	Temperature	GC Yield (%)[b]
1	40 ºC	20
2	60 ºC	53
3	80 ºC	66
4	100 ºC	69
5	120 ºC	78(81)[c]
6	**140 ºC**	**79(87)[c]**

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$ (0.01 mmol, 10 mol%), IPr (0.01 mmol, 10 mol%), *t*-BuOLi (0.15 mmol, 1.5 eq.) and TMDSO (0.15 mmol, 1.5 eq.) in 1,4-dioxane. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard. [c]1,4-dioxane (0.1 M).

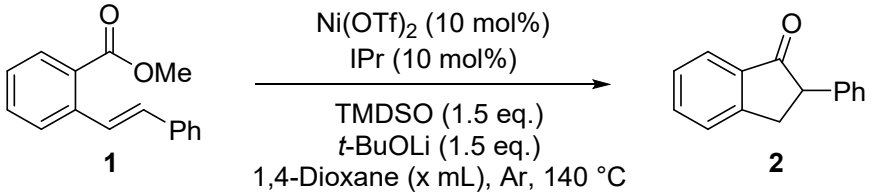
Table S7. Screening of solvents.[a]



Entry	Solvent	GC Yield (%)[b]
1	**1,4-dioxane**	**79**
2	MeOH	ND[c]
3	DCE	ND[c]
4	DMF	ND[c]
5	DMA	<5

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$ (0.01 mmol, 10 mol%), IPr (0.01 mmol, 10 mol%), *t*-BuOLi (0.15 mmol, 1.5 eq.) and TMDSO (0.15 mmol, 1.5 eq.) at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard. [c]Not detected.

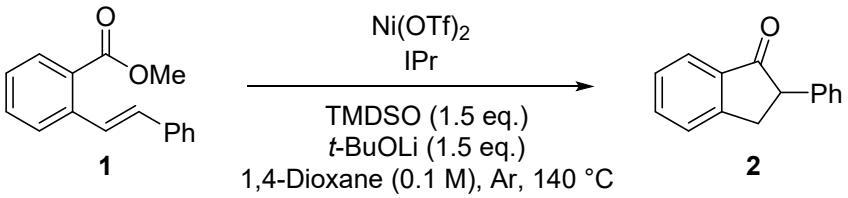
Table S8. Screening of reaction concentrations.[a]



Entry	1,4-dioxane	GC Yield (%)[b]
1	0.2 mL	61
2	0.3 mL	67
3	0.4 mL	67
4	0.5 mL	79
5	**1.0 mL**	**87**
6	2.0 mL	83
7	4.0 mL	84

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$ (0.01 mmol, 10 mol%), IPr (0.01 mmol, 10 mol%), *t*-BuOLi (0.15 mmol, 1.5 eq.) and TMDSO (0.15 mmol, 1.5 eq.) in 1,4-dioxane (x mL) at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard.

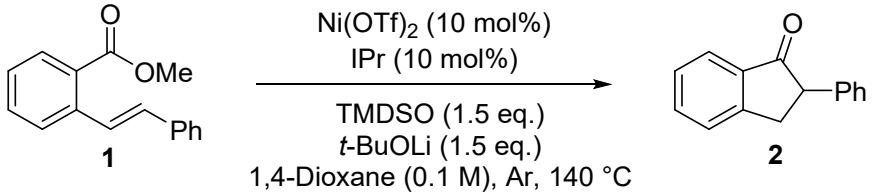
Table S9. Screening of catalyst loading.[a]



Entry	$Ni(OTf)_2$	GC Yield (%)[b]
1	1 mol%	<5
2	2 mol%	45
3	5 mol%	75
4	**10 mol%**	**87**

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$, IPr (0.01 mmol, 10 mol%), *t*-BuOLi (0.15 mmol, 1.5 eq.) and TMDSO (0.15 mmol, 1.5 eq.) in 1,4-dioxane (0.1 M) at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard.

Table S10. Control experiment.[a]

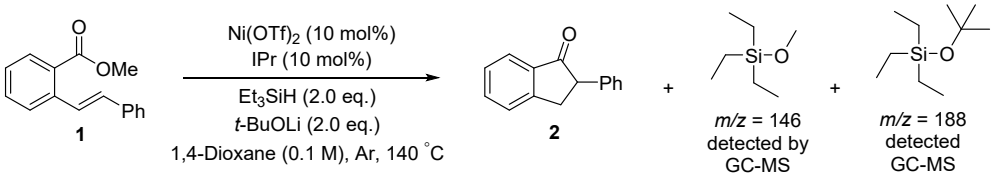


Entry[a]	Variation of Standard Condition	GC Yield (%)[b]
1	none	87
2	No nickel	ND[c]
3	No ligand	ND[c]
4	No base	26
5	No H source	ND[c]

[a]Reaction Conditions: **1** (0.1 mmol), $Ni(OTf)_2$ (0.01 mmol, 10 mol%), IPr (0.01 mmol, 10 mol%), *t*-BuOLi (0.15 mmol, 1.5 eq.) and TMDSO (0.15 mmol, 1.5 eq.) in 1,4-dioxane (0.1 M) at 140 °C. [b]Yield determined by GC with *n*-dodecane as a quantitative internal standard. [c]Not detected.

2.2 The Proposed Mechanism

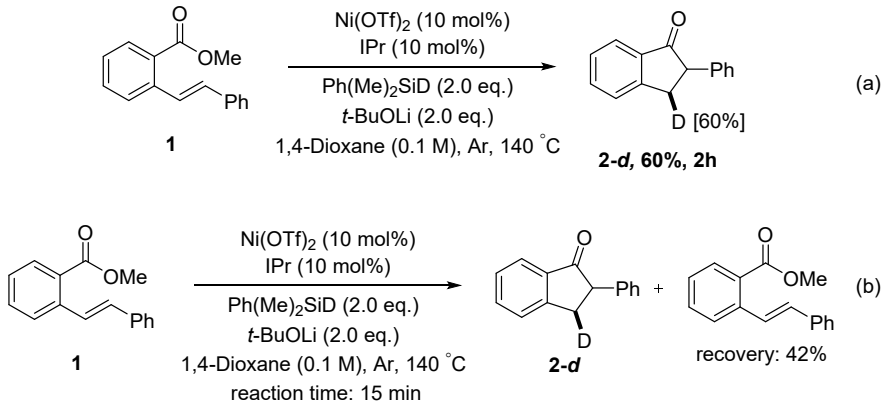
To gain insight into the reaction pathway of this alkene hydroacylation, the model reaction using Et_3SiH as hydrogen source was performed under the optimal reaction condition. As illustrated in Scheme S1, the formation of Et_3SiOMe and Et_3SiO*t*-Bu was detected by GC-MS. We assumed that the high affinity between oxygen in methoxy group with silicon is beneficial for this process.



Scheme S1 The mechanistic investigation.

To investigate the fate of hydrogen atom in silane, the model reaction using $Ph(Me)_2SiD$ as deuteride source was performed under the optimal reaction condition. As illustrated in Scheme S2, the deuterium atom was incorporated to the 3-position of the corresponding 2-phenyl-1-indanone **2-*d*** (eq a, 60%, 60% D). Besides, no deuteration in the recovered starting material was observed in 15 minutes (eq b).

Therefore, we assumed that one hydrogen at the 3-position of **2** originated from the silane.



Scheme S2 The deuterium labeling experiment.

On the basis of this experiment and literature precedents about transition metal-catalyzed intramolecular alkene hydroacylations of 2-styrylbenzaldehydes and the oxidative addition of methyl ester, we proposed a possible reaction pathway involving the cleavage of C(acyl)-O bond in methyl ester (Fig. S1).

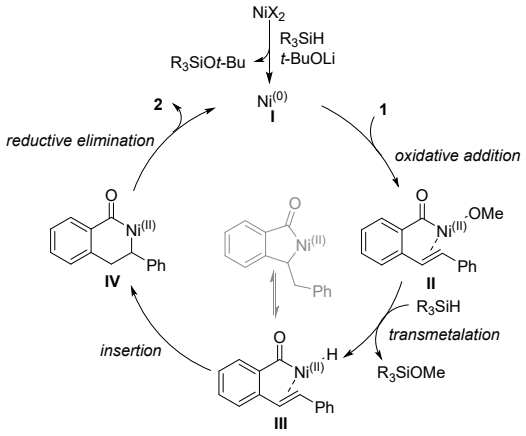


Fig. S1 The plausible pathway.

First, the oxidation addition of methyl ester with Ni(0)-IPr occurs to deliver the key acyl-Ni(II)-Ome species **II**. Subsequently, acyl-Ni(II)-H species **III** could be generated *via* the key transmetalation in the presence of a reducing agent silane.[1] Rather than acyl-Ni(II) species, the crucial Ni(II)-H species **III** undergoes the following intramolecular π-bond insertion, leading to a six-membered acyl-Ni(II)-benzyl species **IV.** The final C(acyl)-C(sp^3) bond-forming reductive elimination of **IV** eventually affords the desired 2-phenyl-1-indanone **2** along with the regeneration of Ni(0)-IPr species **I**.

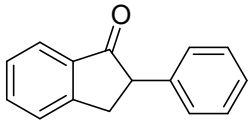
2.3 General Procedures for the Intramolecular Alkene Hydroacylation Protocols and Characterization Data

(1) A general procedure for the assembly of desired indanone derivatives

Procedure A: In an argon-filled glove box, $Ni(OTf)_2$ (0.02 mmol, 10 mol%, 7.1 mg), IPr (0.02 mmol, 10 mol%, 7.8 mg) and anhydrous 1,4-dioxane (2.0 mL) were charged into a dry 15-mL Schlenk tube. After stirring for about 10 min at room temperature, methyl 2-styrylbenzoate derivatives **1** (0.2 mmol), TMDSO (0.3 mmol, 1.5 equiv., 54 μL) and *t*-BuOLi (0.3 mmol, 1.5 equiv., 24.0 mg) were added into the reaction mixture sequentially. The reaction mixture was heated with vigorous stirring in a metal bath maintained at 140 °C for 2-12 h. After the reaction mixture was cooled to room temperature, EtOAc and water was added to dilute the mixture. The aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether as eluent to obtain the pure product.

Procedure B: In an argon-filled glove box, $Ni(OTf)_2$ (0.02 mmol, 10 mol%, 7.1 mg), IPr (0.02 mmol, 10 mol%, 7.8 mg) and anhydrous 1,4-dioxane (2.0 mL) were charged into a dry 15-mL Schlenk tube. After stirring for about 10 min at room temperature, methyl 2-styrylbenzoate derivatives **1** (0.2 mmol), Et_3SiH (0.4 mmol, 2.0 equiv., 64 μL) and *t*-BuOLi (0.4 mmol, 2.0 equiv., 32.0 mg) were added into the reaction mixture sequentially. The reaction mixture was heated with vigorous stirring in a metal bath maintained at 140 °C for 2 h. The work-up procedure is similar with that of procedure A.

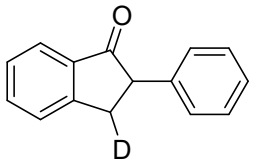
Procedure C: In an argon-filled glove box, $Ni(OTf)_2$ (0.02 mmol, 10 mol%, 7.1 mg), IPr (0.02 mmol, 10 mol%, 7.8 mg) and anhydrous 1,4-dioxane (2.0 mL) were charged into a dry 15-mL Schlenk tube. After stirring for about 10 min at room temperature, methyl 2-styrylbenzoate derivatives **1** (0.2 mmol), TMDSO (0.2 mmol, 1.0 equiv., 35.5 μL), NaOH (0.2 mmol, 1.0 equiv., 8.0 mg) were added into the reaction mixture sequentially. The reaction mixture was heated with vigorous stirring in a metal bath maintained at 140 °C for 2-12 h. The work-up procedure is similar with that of procedure A.



2-phenyl-2,3-dihydro-1*H*-inden-1-one (2)[2] **[CAS：16619-12-8]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 32.8 mg, 79% yield, 12 h. R_f: 0.25 (ethyl acetate/petroleum ether 1: 10).

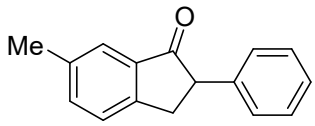
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.7 Hz, 1H), 7.66-7.62 (m, 1H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.42 (ψt, *J* = 7.4 Hz, 1H), 7.33-7.17 (m, 5H), 3.89 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.69 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.27 (dd, *J* = 17.4, 4.0 Hz, 1H).**^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.0, 153.8, 139.8, 136.4, 135.1, 129.0, 128.0, 127.9, 127.1, 126.6, 124.7, 53.5, 35.9. **MS (ESI)**: calculated for $C_{15}H_{13}O$ $[M+H]^+$ 209.10, found 209.00.



2-phenyl-2,3-dihydro-1*H*-inden-1-one-3-*d* (2-*d*)

The product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 40) as white solid. 24.8 mg, 60% yield, 2 h. R_f: 0.37 (ethyl acetate/petroleum ether 1: 20).

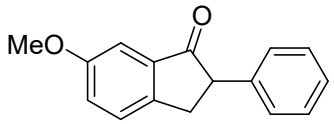
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.6 Hz, 1H), 7.67-7.64 (m, 1H), 7.55-7.53 (m, 1H), 7.45-7.41 (m, 1H), 7.34-7.31 (m, 2H), 7.27-7.24 (m, 1H), 7.20-7.18 (m, 2H), 3.92-3.89 (m, 1H), 3.73-3.71 (m, 0.2H), 3.69-3.67 (m, 0.5H), 3.31-3.30 (m, 0.2H), 3.27-3.26 (m, 0.5H).**^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.1, 153.81, 153.75, 139.86, 139.84, 136.45, 136.43, 135.2, 129.0, 128.0, 127.91, 127.90, 127.2, 126.59, 126.58, 124.7, 53.55, 53.47, 36.0, 35.9-35.4 (m). **HRMS (ESI)**: calculated for $C_{15}H_{11}DO$ $[M+H]^+$ 210.1024, found 210.1022.



6-methyl-2-phenyl-2,3-dihydro-1*H*-inden-1-one (3)[3] **[CAS：117482-15-2]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 32.6 mg, 73% yield, 12 h. R_f: 0.27 (ethyl acetate/petroleum ether 1: 10).

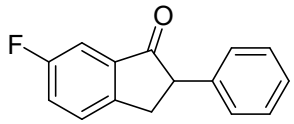
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 (s, 1H), 7.47 (d, *J* = 7.8 Hz, 1H), 7.42 (d, *J* = 7.8 Hz, 1H), 7.33-7.30 (m, 2H), 7.26-7.23 (m, 1H), 7.19-7.17 (m, 2H), 3.89 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.64 (dd, *J* = 17.3, 8.3 Hz, 1H), 3.22 (dd, *J* = 17.3, 3.8 Hz, 1H), 2.43 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.1, 151.2, 140.1, 137.9, 136.6, 136.4, 129.0, 128.0, 127.1, 126.2, 124.6, 53.9, 35.6, 21.2. **HRMS (ESI)**: calculated for $C_{16}H_{14}NaO$ $[M+Na]^+$ 245.0937, found 245.0936.



6-methoxy-2-phenyl-2,3-dihydro-1*H*-inden-1-one (4) [4] **[CAS：108840-75-1]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 31.5 mg, 66% yield, 12 h. R_f: 0.23 (ethyl acetate/petroleum ether 1: 10).

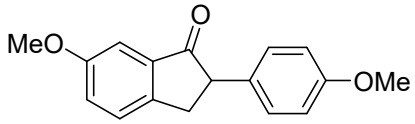
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.43-7.41 (m, 1H), 7.34-7.30 (m, 2H), 7.27-7.24 (m, 3H), 7.19-7.17 (m, 2H), 3.92 (dd, *J* = 8.0, 3.7 Hz, 1H), 3.85 (s, 3H), 3.62 (dd, *J* = 17.1, 8.1 Hz, 1H), 3.19 (dd, *J* = 17.1, 3.7 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.0, 159.9, 146.7, 140.0, 137.6, 129.0, 128.0, 127.3, 127.2, 124.6, 105.8, 55.8, 54.4, 35.4. **MS (ESI)**: calculated for $C_{16}H_{15}O_2$ $[M+H]^+$ 239.11, found 239.10.



6-fluoro-2-phenyl-2,3-dihydro-1*H*-inden-1-one (5) [4] **[CAS：1435929-29-5]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 28.5 mg, 63% yield, 12 h. R_f: 0.26 (ethyl acetate/petroleum ether 1: 10).

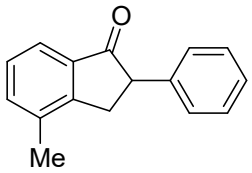
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51-7.48 (m, 1H), 7.46-7.43 (m, 1H), 7.38-7.30 (m, 3H), 7.27-7.24 (m, 1H), 7.18-7.16 (m, 2H), 3.94 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.65 (dd, *J* = 17.2, 8.3 Hz, 1H), 3.24 (dd, *J* = 17.2, 3.6 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 205.0, 162.7 (d, *J* = 248.4 Hz), 149.1 (d, *J* = 2.0 Hz), 139.4, 138.2 (d, *J* = 7.2 Hz), 129.0, 128.0 (d, *J* = 7.8 Hz), 127.9, 127.3, 122.9 (d, *J* = 23.8 Hz), 110.4 (d, *J* = 21.8 Hz), 54.4, 35.3. **^{19}F NMR (377 MHz, $CDCl_3$)** δ -114.0. **MS (ESI)**: calculated for $C_{15}H_{12}FO$ $[M+H]^+$ 227.09, found 227.05.



6-methoxy-2-(4-methoxyphenyl)-2,3-dihydro-1H-inden-1-one (6) [CAS：857774-18-6]

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 31.2 mg, 58% yield, 2 h. R_f: 0.20 (ethyl acetate/petroleum ether 1: 10).

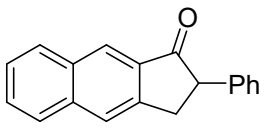
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42-7.40 (m, 1H), 7.25-7.23 (m, 2H), 7.12-7.09 (m, 2H), 6.88-6.84 (m, 2H), 3.88-3.85 (m, 4H), 3.79 (s, 3H), 3.60 (dd, *J* = 17.1, 8.1 Hz, 1H), 3.14 (dd, *J* = 17.1, 3.8 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.4, 159.9, 158.8, 146.6, 137.6, 132.0, 129.0, 127.3, 124.6, 114.5, 105.8, 55.8, 55.4, 53.6, 35.4. **MS (ESI)**: calculated for $C_{17}H_{17}O$ $[M+H]^+$ 269.12, found 269.05.



4-methyl-2-phenyl-2,3-dihydro-1*H*-inden-1-one (7) [3] **[CAS：117482-13-0]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 29.4 mg, 67% yield, 2 h. R_f: 0.25 (ethyl acetate/petroleum ether 1: 10).

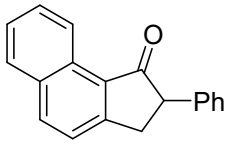
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.66 (d, *J* = 7.6 Hz, 1H), 7.47 (d, *J* = 7.3 Hz, 1H), 7.37-7.31 (m, 3H), 7.27-7.24 (m, 1H), 7.19-7.18 (m, 2H), 3.90 (dd, *J* = 8.3, 3.9 Hz, 1H), 3.60 (dd, *J* = 17.5, 8.3 Hz, 1H), 3.14 (dd, *J* = 17.5, 3.8 Hz, 1H), 2.39 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.4, 152.8, 140.1, 136.3, 135.8, 135.6, 129.0, 128.1, 128.0, 127.1, 122.1, 53.5, 35.0, 17.9. **MS (ESI)**: calculated for $C_{16}H_{15}O$ $[M+H]^+$ 223.11, found 223.05.



2-phenyl-2,3-dihydro-1*H*-cyclopenta[*b*]naphthalen-1-one (8)

Following the general procedure B, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 28.4 mg, 55% yield, 2 h. R_f: 0.30 (ethyl acetate/petroleum ether 1: 10).

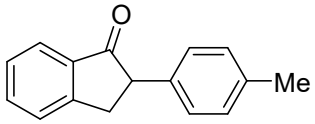
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.39 (s, 1H), 8.01-7.89 (m, 3H), 7.62-7.50 (m, 2H), 7.32-7.21 (m, 5H), 4.03-4.01 (m, 1H), 3.86 (dd, *J* = 16.8, 8.9 Hz, 1H), 3.46 (d, *J* = 16.8 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.3, 146.4, 140.0, 137.6, 134.2, 132.8, 130.6, 129.0, 128.9, 128.0, 128.0, 127.2, 126.4, 125.6, 124.7, 54.3, 35.5. **HRMS (ESI)**: calculated for $C_{19}H_{14}NaO$ $[M+Na]^+$: 281.0937, found 281.0936.



2-phenyl-2,3-dihydro-1*H*-cyclopenta[*a*]naphthalen-1-one (9)

Following the general procedure B, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 28.8 mg, 56% yield, 2 h. R_f: 0.29 (ethyl acetate/petroleum ether 1: 10).

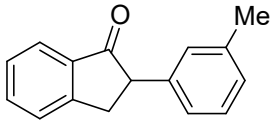
^{1}H NMR (400 MHz, $CDCl_3$) δ 9.14 (d, *J* = 8.4 Hz, 1H), 8.10 (d, *J* = 8.4 Hz, 1H), 7.92 (d, *J* = 8.1 Hz, 1H), 7.69-7.65 (m, 1H), 7.59-7.55 (m, 2H), 7.35-7.31 (m, 2H), 7.27-7.22 (m, 3H), 3.99 (dd, *J* = 7.9, 3.5 Hz, 1H), 3.77 (dd, *J* = 17.8, 7.9 Hz, 1H), 3.36 (dd, *J* = 17.8, 3.4 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.5, 157.2, 140.2, 136.3, 133.1, 130.4, 129.9, 129.2, 129.0, 128.3, 128.0, 127.1, 126.9, 124.3, 123.9, 54.0, 36.3. **HRMS (ESI)**: calculated for $C_{19}H_{14}NaO$ $[M+Na]^+$: 281.0937, found 281.0935.



2-(*p*-tolyl)-2,3-dihydro-1*H*-inden-1-one (10) [4] **[CAS：784-75-8]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 31.7 mg, 70% yield, 2 h. R_f: 0.26 (ethyl acetate/petroleum ether 1: 10).

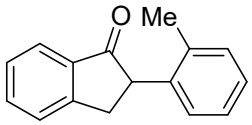
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.7 Hz, 1H), 7.67-7.63 (m, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.43 (ψt, *J* = 7.4 Hz, 1H), 7.15-7.13 (m, 2H), 7.09-7.07 (m, 2H), 3.87 (dd, *J* = 8.3, 4.1 Hz, 1H), 3.68 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.26 (dd, *J* = 17.4, 4.0 Hz, 1H), 2.33 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.2, 153.8, 136.8, 136.7, 136.5, 135.1, 129.7, 127.9, 127.8, 126.6, 124.7, 53.2, 36.0, 21.2. **MS (ESI)**: calculated for $C_{16}H_{14}NaO$ $[M+Na]^+$ 245.09, found 245.05.



2-(*m*-tolyl)-2,3-dihydro-1*H*-inden-1-one (11)[4] **[CAS：1471991-30-6]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 32.6 mg, 74% yield, 2 h. R_f: 0.26 (ethyl acetate/petroleum ether 1: 10).

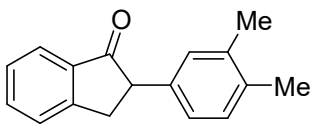
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.7 Hz, 1H), 7.65 (ψt, *J* = 7.6, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.42 (ψt, *J* = 7.4, 1H), 7.15-7.13 (m, 2H), 7.09-7.07 (m, 2H), 3.87 (dd, *J* = 8.3, 4.1 Hz, 1H), 3.68 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.26 (dd, *J* = 17.4, 4.0 Hz, 1H), 2.33 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.7, 153.5, 138.7, 136.8, 136.7, 135.1, 130.8, 127.8, 127.6, 127.2, 126.6, 126.5, 124.4, 51.0, 35.7, 20.2. **MS (ESI)**: calculated for $C_{16}H_{14}NaO$ $[M+Na]^+$ 245.09, found 245.05.



2-(*o*-tolyl)-2,3-dihydro-1*H*-inden-1-one (12)[4] **[CAS：117482-14-1]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 29.0 mg, 67% yield, 2 h. R_f: 0.26 (ethyl acetate/petroleum ether 1: 10).

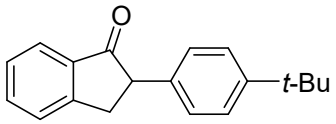
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.7 Hz, 1H), 7.67-7.63 (m, 1H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.44 (ψt, *J* = 7.4 Hz, 1H), 7.23-7.21 (m, 1H), 7.19-7.10 (m, 2H), 6.98-6.96 (m, 1H), 4.12 (dd, *J* = 8.4, 4.4 Hz, 1H), 3.71 (dd, *J* = 17.4, 8.4 Hz, 1H), 3.17 (dd, *J* = 17.4, 4.4 Hz, 1H), 2.36 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.7, 153.5, 138.7, 136.8,136.7, 135.1, 130.8, 127.8, 127.6, 127.2, 126.6, 126.5, 124.4, 51.0, 35.7, 20.2. **MS (ESI)**: calculated for $C_{16}H_{14}NaO$ $[M+Na]^+$ 245.09, found 245.00.



2-(3,4-dimethylphenyl)-2,3-dihydro-1*H*-inden-1-one (13)

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 35.0 mg, 74% yield, 2 h. R_f: 0.31 (ethyl acetate/petroleum ether 1: 10).

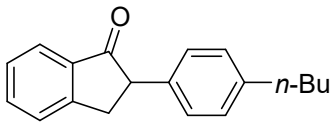
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.6 Hz, 1H), 7.67-7.63 (m, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.45-7.41 (m, 1H), 7.09 (d, *J* = 7.7 Hz, 1H), 6.96 (s, 1H), 6.93-6.91 (m, 1H), 3.84 (dd, *J* = 8.3, 4.1 Hz, 1H), 3.68 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.26 (dd, *J* = 17.4, 4.0 Hz, 1H), 2.23 (s, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.4, 153.9, 137.3, 137.2, 136.5, 135.4, 135.0, 130.2, 129.3, 127.8, 126.6, 125.3, 124.7, 53.3, 36.1, 19.9, 19.5. **HRMS (ESI)**: calculated for $C_{17}H_{17}O$ $[M+H]^+$ 237.1274, found 237.1271.



2-(4-(*tert*-butyl)phenyl)-2,3-dihydro-1*H*-inden-1-one (14) [CAS：1160861-83-5]

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 32.5 mg, 61% yield, 2 h. R_f: 0.33 (ethyl acetate/petroleum ether 1: 10).

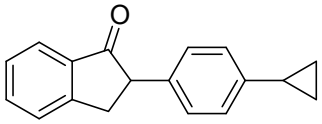
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.7 Hz, 1H), 7.67-7.63 (m, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.42 (ψt, *J* = 7.4 Hz, 1H), 7.36-7.33 (m, 2H), 7.14-7.12 (m, 2H), 3.88 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.68 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.28 (dd, *J* = 17.4, 4.0 Hz, 1H), 1.30 (s, 9H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.2, 153.9, 150.0, 136.7, 136.5, 135.1, 127.8, 127.6, 126.6, 125.9, 124.7, 53.1, 35.9, 34.6, 31.5. **MS (ESI)**: calculated for $C_{19}H_{21}O$ $[M+H]^+$ 265.16, found 265.05.



2-(4-butylphenyl)-2,3-dihydro-1*H*-inden-1-one (15)

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as colorless oil. 36.4 mg, 68% yield, 2 h. R_f: 0.34 (ethyl acetate/petroleum ether 1: 10).

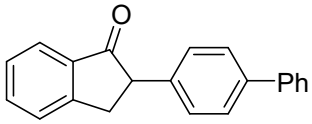
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.7 Hz, 1H), 7.66-7.62 (m, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.42 (ψt, *J* = 7.4 Hz, 1H), 7.15-7.08 (m, 4H), 3.87 (dd, *J* = 8.3, 4.1 Hz, 1H), 3.68 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.27 (dd, *J* = 17.4, 4.0 Hz, 1H), 2.58 (t, *J* = 7.7 Hz, 2H), 1.62-1.54 (m, 2H), 1.40-1.30 (m, 2H), 0.92 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.3, 153.9, 141.8, 137.0, 136.5, 135.1, 129.0, 127.8, 126.6, 124.7, 53.2, 36.0, 35.4, 33.7, 22.5, 14.1. **HRMS (ESI):** calculated for $C_{19}H_{21}O$ $[M+H]^+$ 265.1587, found 265.1587.



2-(4-cyclopropylphenyl)-2,3-dihydro-1*H*-inden-1-one (16)

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as colorless oil. 24.6 mg, 50% yield, 4 h. R_f: 0.30 (ethyl acetate/petroleum ether 1: 10).

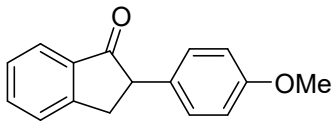
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.6 Hz, 1H), 7.64 (ψt, *J* = 7.4 Hz, 1H), 7.52 (d, *J* = 7.6 Hz, 1H), 7.42 (ψt, *J* = 7.4 Hz, 1H), 7.09-7.02 (m, 4H), 3.86 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.67 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.25 (dd, *J* = 17.4, 3.9 Hz, 1H), 1.90-1.83 (m, 1H), 0.95-0.91 (m, 2H), 0.68-0.64 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.2, 153.8, 142.9, 136.8, 136.5, 135.1, 127.9, 127.8, 126.6, 126.4, 124.7, 53.2, 36.0, 15.2, 9.1. **HRMS (ESI)**: calculated for $C_{18}H_{17}O$ $[M+H]^+$ 249.1274, found 249.1273.



2-([1,1'-biphenyl]-4-yl)-2,3-dihydro-1*H*-inden-1-one (17)

Following the general procedure B, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 31.7 mg, 58% yield, 2 h. R_f: 0.23 (ethyl acetate/petroleum ether 1: 10).

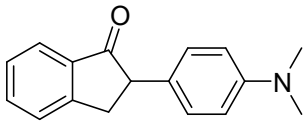
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 7.6 Hz, 1H), 7.65 (ψt, *J* = 7.4 Hz, 1H), 7.56-7.53 (m, 5H), 7.44-7.39 (m, 3H), 7.34-7.30 (m, 1H), 7.27-7.23 (m, 2H), 3.93 (dd, *J* = 8.3, 4.1 Hz, 1H), 3.71 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.31 (dd, *J* = 17.4, 3.9 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.0, 153.8, 141.0, 140.2, 138.8, 136.4, 135.2, 128.9, 128.4, 127.9, 127.7, 127.3, 127.2, 126.6, 124.7, 53.2, 35.9. **HRMS (ESI)**: calculated for $C_{21}H_{17}O$ $[M+H]^+$ 285.1274, found 285.1273.



2-(4-methoxyphenyl)-2,3-dihydro-1*H*-inden-1-one (18) [4] **[CAS：1086-43-7]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 29.1 mg, 62% yield, 2 h. R_f: 0.24 (ethyl acetate/petroleum ether 1: 10).

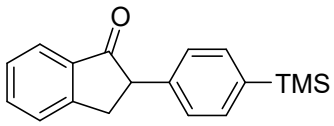
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.7 Hz, 1H), 7.66-7.62 (m, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.42 (ψt, *J* = 7.4 Hz, 1H), 7.13-7.10 (m, 2H), 6.89-6.84 (m, 2H), 3.85 (dd, *J* = 8.3, 4.1 Hz, 1H), 3.79 (s, 3H), 3.68 (dd, *J* = 17.4, 8.4 Hz, 1H), 3.23 (dd, *J* = 17.4, 4.1 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.4, 158.8, 153.7, 136.4, 135.1, 131.9, 129.0, 127.9, 126.6, 124.7, 114.5, 55.4, 52.8, 36.0. **MS (ESI)**: calculated for $C_{16}H_{15}O_2$ $[M+H]^+$ 239.11, found 239.00.



2-(4-(dimethylamino)phenyl)-2,3-dihydro-1*H*-inden-1-one (19)

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 4) as white solid. 28.6 mg, 57% yield, 2 h. R_f: 0.13 (ethyl acetate/petroleum ether 1: 10).

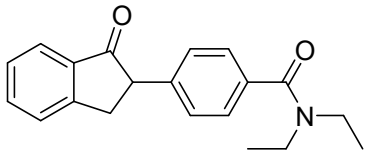
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.6 Hz, 1H), 7.65-7.61 (m, 1H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.41 (ψt, *J* = 7.4 Hz, 1H), 7.06 (d, *J* = 8.7 Hz, 2H), 6.70 (d, *J* = 8.7 Hz, 2H), 3.81 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.65 (dd, *J* = 17.3, 8.3 Hz, 1H), 3.24 (dd, *J* = 17.3, 4.0 Hz, 1H), 2.92 (s, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.9, 153.9, 149.9, 136.6, 134.9, 128.6, 127.7, 127.6, 126.5, 124.6, 113.3, 52.8, 40.8, 36.0. **HRMS (ESI)**: calculated for $C_{17}H_{18}NO$ $[M+H]^+$ 252.1383, found 252.1381.



2-(4-(trimethylsilyl)phenyl)-2,3-dihydro-1*H*-inden-1-one (20)

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 35.2 mg, 65% yield, 2 h. R_f: 0.34 (ethyl acetate/petroleum ether 1: 10).

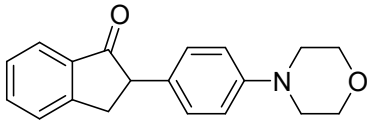
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.6 Hz, 1H), 7.65 ((ψt, *J* = 7.4 Hz, 1H), 7.55-7.41 (m, 4H), 7.19 (d, *J* = 7.8 Hz, 2H), 3.90 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.69 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.29 (dd, *J* = 17.4, 3.9 Hz, 1H), 0.25 (s, 9H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.0, 153.8, 140.3, 139.1, 136.5, 135.1, 134.1, 127.9, 127.4, 126.6, 124.7, 53.6, 35.8, -1.0. **HRMS (ESI)**: calculated for $C_{18}H_{21}SiO$ $[M+H]^+$ 281.1356, found 281.1356.



***N,N*-diethyl-4-(1-oxo-2,3-dihydro-1*H*-inden-2-yl)benzamide (21)**

Following the general procedure B, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 39.8 mg, 64% yield, 2 h. R_f: 0.21 (ethyl acetate/petroleum ether 1: 10).

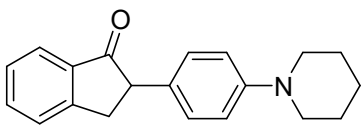
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.7 Hz, 1H), 7.66 (ψt, *J* = 7.4 Hz, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.43 (ψt, *J* = 7.4 Hz, 1H), 7.32 (d, *J* = 8.1 Hz, 2H), 7.21 (d, *J* = 8.1 Hz, 2H), 3.92 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.70 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.53 (br, 2H), 3.27-3.22 (m, 3H), 1.16 (d, *J* = 48.0 Hz, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 205.7, 171.2, 153.7, 141.0, 136.3, 136.1, 135.3, 128.1, 128.0, 127.0, 126.6, 124.7, 53.3, 43.4, 39.4, 35.9, 14.4, 13.1. **HRMS (ESI)**: calculated for $C_{20}H_{22}NO_2$ $[M+H]^+$ 308.1645, found 308.1644.



2-(4-morpholinophenyl)-2,3-dihydro-1*H*-inden-1-one (22)

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 37.4 mg, 63% yield, 2 h. R_f: 0.20 (ethyl acetate/petroleum ether 1: 10).

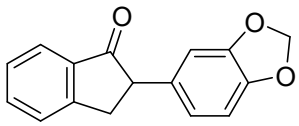
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.7 Hz, 1H), 7.66-7.62 (m, 1H), 7.52 (d, *J* = 7.7 Hz, 1H), 7.42 (ψt, *J* = 7.4 Hz, 1H), 7.11-7.09 (m, 2H), 6.90-6.86 (m, 2H), 3.86-3.82 (m, 5H), 3.66 (dd, *J* = 17.4, 8.3 Hz, 1H), 3.24 (dd, *J* = 17.4, 4.0 Hz, 1H), 3.13 (t, *J* = 4.8 Hz, 4H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.4, 153.8, 150.5, 136.5, 135.0, 131.1, 128.7, 127.8, 126.5, 124.6, 116.3, 67.0, 52.8, 49.6, 35.9. **HRMS (ESI)**: calculated for $C_{19}H_{20}NO_2$ $[M+H]^+$ 294.1489, found 294.1488.



2-(4-(piperidin-1-yl)phenyl)-2,3-dihydro-1*H*-inden-1-one (23)

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 4) as white solid. 37.2 mg, 63% yield, 2 h. R_f: 0.42 (ethyl acetate/petroleum ether 1: 4).

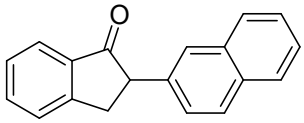
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.80 (d, *J* = 7.6 Hz, 1H), 7.63 (ψt, *J* = 7.3 Hz, 1H), 7.52 (d, *J* = 7.5 Hz, 1H), 7.41 (t, *J* = 7.4 Hz, 1H), 7.07 (d, *J* = 8.3 Hz, 2H), 6.89 (d, *J* = 7.4 Hz, 2H), 3.82 (dd, *J* = 8.1, 3.9 Hz, 1H), 3.65 (dd, *J* = 17.3, 8.2 Hz, 1H), 3.23 (dd, *J* = 17.3, 3.5 Hz, 1H), 3.13 (t, *J* = 4.9 Hz, 4H), 1.70-1.56 (m, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.6, 153.9, 136.6, 135.0, 128.5, 127.8, 126.6, 124.6, 117.1, 52.8, 51.0, 36.0, 26.0, 24.4. **HRMS (ESI)**: calculated for $C_{20}H_{22}NO$ $[M+H]^+$ 292.1696, found 292.1693.



2-(benzo[d][1,3]dioxol-5-yl)-2,3-dihydro-1*H*-inden-1-one (24) [5] **[CAS：2089052-24-2]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 32.5 mg, 58% yield, 4 h. R_f: 0.19 (ethyl acetate/petroleum ether 1: 10).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, *J* = 7.7 Hz, 1H), 7.66-7.62 (m, 1H), 7.53-7.51 (m, 1H), 7.44-7.40 (m, 1H), 6.76 (d, *J* = 7.9 Hz, 1H), 6.68-6.65 (m, 1H), 6.63 (d, *J* = 1.7 Hz, 1H), 5.91 (q, *J* = 1.4 Hz, 2H), 3.81 (dd, *J* = 8.3, 4.1 Hz, 1H), 3.66 (dd, *J* = 17.4, 8.4 Hz, 1H), 3.20 (dd, *J* = 17.4, 4.0 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.0, 153.6, 148.2, 146.8, 136.4, 135.2, 133.6, 127.9, 126.6, 124.7, 121.4, 108.7, 108.3, 101.2, 53.3, 36.1. **MS (ESI)**: calculated for $C_{16}H_{13}O$ $[M+H]^+$ 253.09, found 253.05.

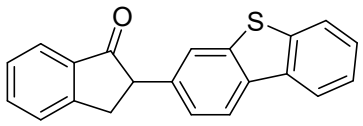


2-(naphthalen-2-yl)-2,3-dihydro-1*H*-inden-1-one (25) [4] **[CAS：94213-11-3]**

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 27.8 mg, 53% yield, 2 h. R_f: 0.23 (ethyl acetate/petroleum ether 1: 10).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, *J* = 7.7 Hz, 1H), 7.80-7.76 (m, 3H), 7.69-7.65 (m, 2H), 7.56 (d, *J* = 7.7 Hz, 1H), 7.47-7.41 (m, 3H), 7.26-7.23 (m, 1H), 4.07 (dd, *J* = 8.3, 4.1 Hz, 1H), 3.76 (dd, *J* = 17.5, 8.3 Hz, 1H), 3.37 (dd, *J* = 17.5, 4.1 Hz, 1H).**^{13}C**

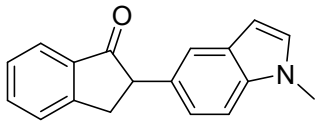
NMR (101 MHz, $CDCl_3$) δ 206.0, 153.8, 137.3, 136.6, 135.2, 133.7, 132.7, 128.9, 128.0, 127.9, 127.8, 127.0, 126.7, 126.3, 125.9, 125.8, 124.8, 53.7, 36.0. **MS (ESI)**: calculated for $C_{19}H_{15}O$ $[M+H]^+$ 259.11, found 259.00.



2-(dibenzo[b,d]thiophen-3-yl)-2,3-dihydro-1*H*-inden-1-one (26)

Following the general procedure B, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 10) as white solid. 29.8 mg, 48% yield, 2 h. R_f: 0.17 (ethyl acetate/petroleum ether 1: 10).

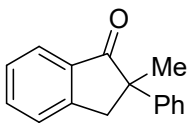
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12-8.07 (m, 1H), 8.00 (d, *J* = 1.3 Hz, 1H), 7.87-7.78 (m, 3H), 7.68 (ψt, *J* = 7.2 Hz, 1H), 7.57 (d, *J* = 7.7 Hz, 1H), 7.48-7.39 (m, 3H), 7.26-7.24 (m, 1H), 4.08 (dd, *J* = 8.4, 4.2 Hz, 1H), 3.79 (dd, *J* = 17.5, 8.4 Hz, 1H), 3.37 (dd, *J* = 17.5, 4.1 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.1, 153.7, 140.0, 138.3, 136.4, 136.2, 136.1, 135.4, 135.3, 128.0, 126.9, 126.7, 126.6, 124.8, 124.4, 123.4, 123.0, 121.8, 121.2, 53.6, 36.4. **HRMS (ESI)**: calculated for $C_{21}H_{15}SO$ $[M+H]^+$ 315.0838, found 315.0836.



2-(1-methyl-1*H*-indol-5-yl)-2,3-dihydro-1*H*-inden-1-one (27)

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 4) as white solid. 32.7 mg, 62% yield, 2 h. R_f: 0.29 (ethyl acetate/petroleum ether 1: 4).

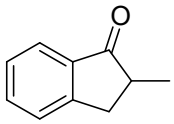
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 7.6 Hz, 1H), 7.64 (ψt, *J* = 7.4 Hz, 1H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.44-7.40 (m, 2H), 7.26 (d, *J* = 8.8 Hz, 1H), 7.02-6.99 (m, 2H), 6.40 (d, *J* = 2.9 Hz, 1H), 3.98 (dd, *J* = 8.3, 4.0 Hz, 1H), 3.75-3.69 (m, 4H), 3.32 (dd, *J* = 17.4, 3.9 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 207.1, 154.1, 136.7, 136.1, 135.0, 130.8, 129.4, 129.0, 127.7, 126.6, 124.6, 121.5, 120.1, 109.8, 101.0, 53.8, 36.8, 33.0. **HRMS (ESI)**: calculated for $C_{18}H_{16}NO$ $[M+H]^+$ 262.1226, found 262.1223.



2-methyl-2-phenyl-2,3-dihydro-1*H*-inden-1-one (28) [6] **[CAS：10474-32-5]**

Following the general procedure C, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 19.6 mg, 44% yield, 2 h. R_f: 0.28 (ethyl acetate/petroleum ether 1: 10).

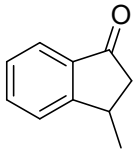
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.82 (d, *J* = 7.7 Hz, 1H), 7.63 (ψt, *J* = 7.4 Hz, 1H), 7.49-7.47 (m, 1H), 7.41 (ψt, *J* = 7.5 Hz, 1H), 7.31-7.25 (m, 4H), 7.22-7.18 (m, 1H), 3.59 (d, *J* = 17.4 Hz, 1H), 3.30 (d, *J* = 17.4 Hz, 1H), 1.66 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 208.7, 152.7, 144.0, 135.8, 135.2, 128.7, 127.9, 126.8, 126.5, 126.4, 125.0, 53.3, 45.0, 24.6. **MS (ESI)**: calculated for $C_{16}H_{15}O$ $[M+H]^+$ 223.11, found 223.05.



2-methyl-2,3-dihydro-1*H*-inden-1-one (29)

Following the general procedure B, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as colorless oil. 15.7 mg, 54% yield, 2 h. R_f: 0.41 (ethyl acetate/petroleum ether 1: 10).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75 (d, *J* = 7.7 Hz, 1H), 7.60-7.56 (m, 1H), 7.44 (d, *J* = 7.6 Hz, 1H), 7.36 (ψt, *J* = 7.4 Hz, 1H), 3.43-3.36 (m, 1H), 2.75-2.67 (m, 2H), 1.31 (d, *J* = 7.2 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 209.5, 153.6, 136.6, 134.8, 127.5, 126.7, 124.1, 42.1, 35.1, 16.4. **HRMS (ESI)**: calculated for $C_{10}H_{10}NaO$ $[M+Na]^+$: 169.0624, found 169.0624.



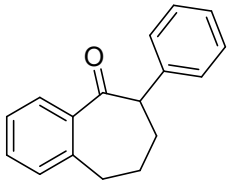
3-methyl-2,3-dihydro-1H-inden-1-one (30)

Following the general procedure C, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as colorless oil. 14.2 mg, 49% yield, 2 h. R_f: 0.40 (ethyl acetate/petroleum ether 1: 10).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 7.6 Hz, 1H), 7.60-7.56 (m, 1H), 7.45 (d, *J* = 7.7 Hz, 1H), 7.37 (ψt, *J* = 7.4 Hz, 1H), 3.44-3.37 (m, 1H), 2.76-2.67 (m, 2H), 1.32 (d, *J* = 7.2 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 209.5, 153.6, 136.6, 134.8, 127.5, 126.7, 124.2, 42.2, 35.1, 16.4. **HRMS (ESI)**: calculated for $C_{10}H_{10}NaO$ $[M+Na]^+$: 169.0624, found 169.0630.

(2) A general procedure for synthesizing of benzosuberone derivatives

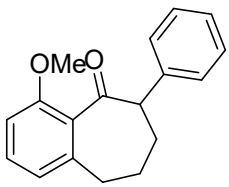
Procedure D: In an argon-filled glove box, $Ni(OTf)_2$ (0.02 mmol, 10 mol%, 7.1 mg), IPr (0.02 mmol, 10 mol%, 7.8 mg) and anhydrous 1,4-dioxane/DME (1/2, 4.0 mL) were charged into a dry 15-mL Schlenk tube. After stirring for about 10 min at room temperature, methyl 2-dienylbenzoate derivatives (0.2 mmol), TMDSO (0.3 mmol, 1.5 equiv., 54 μL) and *t*-BuOLi (0.3 mmol, 1.5 equiv., 24.0 mg) were added into the reaction mixture sequentially. The reaction mixture was heated with vigorous stirring in a metal bath maintained at 120 °C for 12-14 h. After the reaction mixture was cooled to room temperature, EtOAc and water was added to dilute the mixture. The aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether as eluent to obtain the pure product.



6-phenyl-6,7,8,9-tetrahydro-5*H*-benzo[7]annulen-5-one (31)

Following the general procedure D, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 50) as colorless oil. 22.2 mg, 47% yield, 12 h. R_f: 0.63 (ethyl acetate/petroleum ether 1: 10).

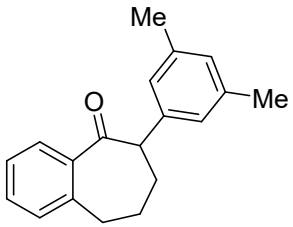
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63-7.61 (m, 1H), 7.44-7.42 (m, 1H), 7.36-7.24 (m, 7H), 4.05 (dd, *J* = 10.9, 4.8 Hz, 1H), 3.14-2.97 (m, 2H), 2.23-2.09 (m, 3H), 1.91-1.82 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 205.9, 141.3, 140.5, 140.2, 131.7, 129.8, 128.9, 128.6, 128.5, 127.1, 126.8, 56.1, 33.1, 31.4,25.7. **HRMS (ESI):** calculated for $C_{17}H_{16}NaO$ $[M+Na]^+$: 259.1093, found 259.1092.



4-methoxy-6-phenyl-6,7,8,9-tetrahydro-5*H*-benzo[7]annulen-5-one (32)

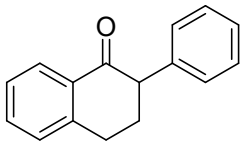
Following the general procedure D ($Ni(OTf)_2$ (15 mol%) and IPr (15 mol%)), the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 10) as colorless oil. 25.8 mg, 48% yield, 12 h. R_f: 0.11 (ethyl acetate/petroleum ether 1: 10).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.51 (ψt, *J* = 7.9 Hz, 1H), 7.29-7.16 (m, 5H), 6.99 (d, *J* = 7.5 Hz, 1H), 6.77 (d, *J* = 8.2 Hz, 1H), 3.94 (s, 3H), 3.27 (dd, *J* = 17.2, 8.0 Hz, 1H), 2.85-2.70 (m, 3H), 2.67-2.60 (m, 1H), 2.31-2.23 (m, 1H), 1.81-1.71 (m, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 206.4, 158.3, 156.4, 141.8, 136.5, 128.7, 128.5, 126.1, 125.1, 118.4, 109.1, 55.9, 47.1, 33.7, 33.6, 32.9. **HRMS (ESI)**: calculated for $C_{18}H_{18}NaO_2$ $[M+Na]^+$: 289.1199, found 289.1196.



6-(3,5-dimethylphenyl)-6,7,8,9-tetrahydro-5*H*-benzo[7]annulen-5-one (33)

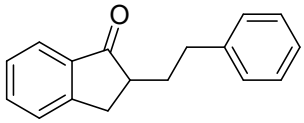
Following the general procedure D ($Ni(OTf)_2$ (20 mol%) and IPr (20 mol%)), the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 50) as colorless oil. 19.6 mg, 37% yield, 14 h. R_f: 0.68 (ethyl acetate/petroleum ether 1: 10). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (d, *J* = 7.7 Hz, 1H), 7.61-7.57 (m, 1H), 7.46 (d, *J* = 7.7 Hz, 1H), 7.37 (ψt, *J* = 7.4 Hz, 1H), 6.85-6.84 (m, 3H), 3.35 (dd, *J* = 17.2, 7.9 Hz, 1H), 2.86 (dd, *J* = 17.2, 4.0 Hz, 1H), 2.79-2.63 (m, 3H), 2.33-2.24 (m, 7H), 1.80-1.71 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 208.8, 153.7, 141.5, 138.0, 137.0, 134.8, 127.8, 127.5, 126.7, 126.5, 124.0, 47.0, 33.6, 33.3, 33.1, 21.4. **HRMS (ESI)**: calculated for $C_{19}H_{20}NaO$ $[M+Na]^+$: 287.1406, found 287.1414.



2-phenyl-3,4-dihydronaphthalen-1(2H)-one (34) [CAS：7498-87-5]

Following the general procedure A, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 50) as white solid. 41.6 mg, 47% yield, 2 h. R_f: 0.34 (ethyl acetate/petroleum ether 1: 20).

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (dd, *J* = 7.6, 0.8 Hz, 1H), 7.51 (td, *J* = 7.6, 1.2 Hz, 1H), 7.37-7.33 (m, 3H), 7.30-7.26 (m, 2H), 7.21-7.19 (m, 2H), 3.85-3.78 (m, 1H), 3.17-3.02 (m, 2H), 2.48-2.42 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.3, 144.2, 139.9, 133.6, 133.0, 128.9, 128.7, 128.6, 127.9, 127.1, 126.9, 54.5, 31.3, 28.9. **MS (ESI)**: calculated for $C_{16}H_{14}O$ $[M+H]^+$ 223.1117, found 223.1115.



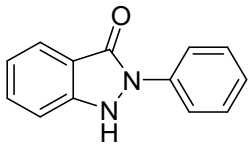
2-phenethyl-2,3-dihydro-1*H*-inden-1-one (35) [CAS：861292-76-4]

Following the general procedure C, the product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as colorless oil. 22.7 mg, 46% yield, 12 h. R_f: 0.39 (ethyl acetate/petroleum ether 1: 10).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (d, *J* = 7.6 Hz, 1H), 7.58-7.54 (m, 1H), 7.43 (d, *J* = 7.7 Hz, 1H), 7.34 (ψt, *J* = 7.4 Hz, 1H), 7.28-7.15 (m, 5H), 3.32 (dd, *J* = 17.2, 7.9 Hz, 1H), 2.81-2.61 (m, 4H), 2.32-2.24 (m, 1H), 1.80-1.71 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 208.8, 153.7, 141.7, 137.0, 134.8, 128.7, 128.6, 127.5, 126.7, 126.2, 124.1, 46.9, 33.8, 33.3, 33.1. **MS (ESI)**: calculated for $C_{17}H_{17}O$ $[M+H]^+$ 237.13, found 237.05.

(3) A general procedure for synthesising of indazolone derivatives

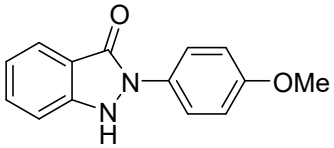
Procedure E: In an argon-filled glove box, $Ni(OTf)_2$ (0.02 mmol, 10 mol%, 7.1 mg), IPr (0.02 mmol, 10 mol%, 7.8 mg) and anhydrous 1,4-dioxane (2.0 mL) were charged into a dry 15-mL Schlenk tube. After stirring for about 10 min at room temperature, methyl 2-diazenylbenzoate derivatives (0.2 mmol), TMDSO (0.3 mmol, 1.5 equiv., 54 μL) and *t*-BuOLi (0.3 mmol, 1.5 equiv., 24.0 mg) were added into the reaction mixture sequentially. The reaction mixture was heated with vigorous stirring in a metal bath maintained at 80 °C for 4-24 h. After the reaction mixture was cooled to room temperature, EtOAc and water was added to dilute the mixture. The aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether as eluent to obtain the pure product.



2-phenyl-1,2-dihydro-3*H*-indazol-3-one (36) [7] **[CAS：17049-65-9]**

Following the general procedure E, the product was isolated by flash chromatography (acetone/petroleum ether 1: 4, 1% triethylamine) as white solid. 38.0 mg, 90% yield, 4 h. R_f: 0.31 (acetone /petroleum ether 1: 2).

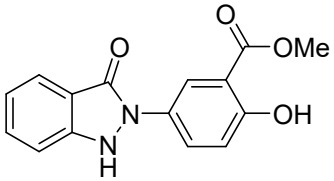
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 10.66 (s, 1H), 7.94-7.92 (m, 2H), 7.76 (d, *J* = 7.8 Hz, 1H), 7.63-7.59 (m, 1H), 7.53-7.49 (m, 2H), 7.37 (d, *J* = 8.2 Hz, 1H), 7.27-7.18 (m, 2H). **^{13}C NMR (101 MHz, DMSO-*d*$_6$)** δ 160.3, 146.6, 137.6, 132.5, 129.1, 124.9, 123.4, 121.9, 119.0, 118.1, 112.7. **MS (ESI)**: calculated for $C_{13}H_{11}N_2O$ $[M+H]^+$ 211.09, found 210.95.



2-(4-methoxyphenyl)-1,2-dihydro-3*H*-indazol-3-one (37) [7] **[CAS：74152-89-9]**

Following the general procedure E, the product was isolated by flash chromatography (acetone/petroleum ether 1: 4, 1% triethylamine) as white solid. 42.8 mg, 94% yield, 24 h. R_f: 0.30 (acetone /petroleum ether 1: 2).

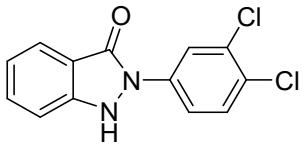
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 10.60 (s, 1H), 7.82-7.78 (m, 2H), 7.73 (d, *J* = 7.8 Hz, 1H), 7.61-7.57 (m, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.20-7.17 (m, 1H), 7.09-7.05 (m, 2H), 3.79 (s, 3H). **^{13}C NMR (101 MHz, DMSO-*d*$_6$)** δ 159.7, 156.6, 146.3, 132.0, 130.8, 123.2, 121.6, 121.0, 118.1, 114.2, 112.4, 55.3. **MS (ESI)**: calculated for $C_{14}H_{13}N_2O_2$ $[M+H]^+$ 241.10, found 241.00.



methyl 2-hydroxy-5-(3-oxo-1,3-dihydro-2*H*-indazol-2-yl)benzoate (38)

Following the general procedure E (*t*-BuOLi (0.6 mmol, 3.0 equiv., 48.0 mg)). The product was isolated by flash chromatography (acetone/petroleum ether 1: 4, 1% triethylamine) as white solid. 39.4 mg, 69% yield, 24 h. R_f: 0.30 (acetone /petroleum ether 1: 2).

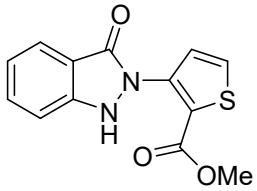
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 10.73 (br, 2H), 7.91 (d, *J* = 8.7 Hz, 1H), 7.77 (d, *J* = 7.8 Hz, 1H), 7.67-7.58 (m, 3H), 7.38 (d, *J* = 8.2 Hz, 1H), 7.22 (ψt, *J* = 7.4 Hz, 1H), 3.90 (s, 3H). **^{13}C NMR (101 MHz, DMSO-*d*$_6$)** δ 168.7, 161.0, 160.8, 147.1, 143.1, 133.3, 131.2, 123.6, 122.2, 117.8, 112.8, 109.1, 108.9, 105.4, 52.3. **HRMS (ESI)**: calculated for $C_{15}H_{13}N_2O_4$ $[M+H]^+$ 285.0870, found 285.0869.



2-(3,4-dichlorophenyl)-1,2-dihydro-3*H*-indazol-3-one (39) [CAS：2183608-55-9]

Following the general procedure E, the product was isolated by flash chromatography (acetone/petroleum ether 1: 4, 1% triethylamine) as white solid. 45.2 mg, 81% yield, 24 h. R_f: 0.31 (acetone /petroleum ether 1: 2).

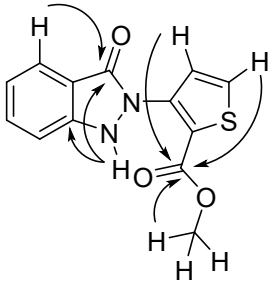
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 10.71 (s, 1H), 8.22 (d, *J* = 2.5 Hz, 1H), 7.96-7.93 (m, 1H), 7.79-7.76 (m, 2H), 7.67-7.63 (m, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.22 (ψt, *J* = 7.5 Hz, 1H). **^{13}C NMR (101 MHz, DMSO-*d*$_6$)** δ 160.7, 147.0, 137.4, 133.1, 131.4, 131.0, 126.4, 123.5, 122.2, 119.6, 118.2, 117.7, 112.7. **MS (ESI)**: calculated for $C_{13}H_9Cl_2N_2O$ $[M+H]^+$ 279.01, found 278.95.



methyl 3-(3-oxo-1,3-dihydro-2*H*-indazol-2-yl)thiophene-2-carboxylate (40)

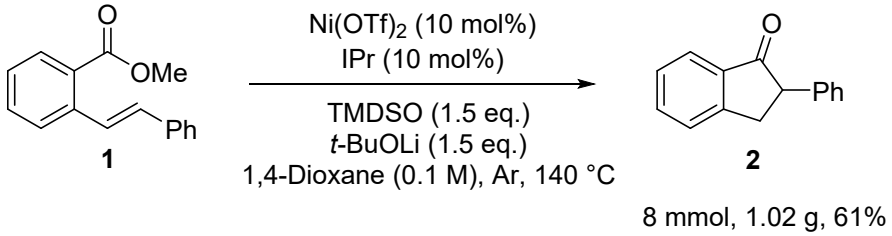
Following the general procedure E, the product was isolated by flash chromatography (acetone/petroleum ether 1: 4, 1% triethylamine) as white solid. 33.9 mg, 61% yield, 24 h. R_f: 0.30 (acetone /petroleum ether 1: 2).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 10.63 (s, 1H), 7.99 (d, *J* = 5.3 Hz, 1H), 7.74 (d, *J* = 7.8 Hz, 1H), 7.64-7.60 (m, 1H), 7.39 (d, *J* = 5.3 Hz, 1H), 7.34 (d, *J* = 7.8 Hz, 1H), 7.22-7.18 (m, 1H), 3.75 (s, 3H). **^{13}C NMR (101 MHz, DMSO-*d*$_6$)** δ 161.1, 160.0, 147.4, 137.3, 132.7, 131.4, 125.5, 123.6, 121.9, 121.7, 116.7, 112.5, 52.1. **HRMS (ESI)**: calculated for $C_{13}H_{11}N_2SO_3$ $[M+H]^+$ 275.0485, found 275.0485. **Key HMBC correlation (H → C):**



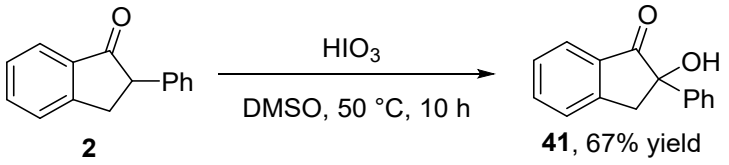
2.4 Further Transformations and Characterization Data

(1) Gram-scale reaction



In an argon-filled glove box, $Ni(OTf)_2$ (0.8 mmol, 10 mol%, 285.4 mg), IPr (0.8 mmol, 10 mol%, 310.9 mg) and anhydrous 1,4-dioxane (60.0 mL) were charged into a dry 120-mL Schlenk tube. After stirring for about 10 min at room temperature, methyl 2-styrylbenzoate **1** (8.0 mmol, 1.90 g) was added in one portion. Then, a solution of *t*-BuOLi (12 mmol, 1.5 equiv., 960.6 mg) and TMDSO (12 mmol, 1.5 equiv., 2.1 mL) in anhydrous 1,4-dioxane (20.0 mL) was added in the reaction mixture slowly. The reaction mixture was heated with vigorous stirring in a metal bath maintained at 140 °C for 2 hours. After the reaction mixture was cooled to room temperature, EtOAc and water was added to dilute the mixture. The mixture was washed with saturated brine solution. The combined aqueous phases were re-extracted with EtOAc. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 20) as eluent to obtain the pure product 2-phenyl-1-indanone **2** (1.02 g, 61% yield).

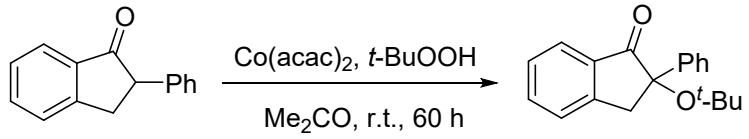
(2) α-Hydroxylation



To a solution of 2-phenyl-1-indanone **2** (0.2 mmol, 41.7 mg) in DMSO (2.0 mL) was added HIO_3 (0.34 mmol, 1.7 equiv., 59.8 mg) in an argon-filled glove box at room temperature. The reaction was stirred at 50 °C for 10 hours. After the reaction mixture was cooled to room temperature, EtOAc and water was added to dilute the mixture. The mixture was washed with saturated brine solution. The combined aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was

then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 4) as eluent to afford the 2-hydroxy-2-phenyl-1-indanone **41** (30.2 mg, 67% yield).

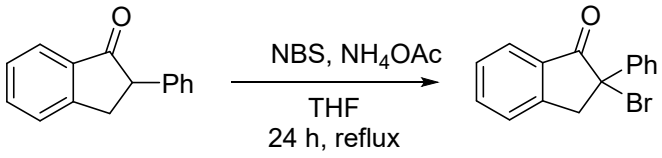
(3) α-Alkoxylation



2 **42**, 61% yield

To a solution of $Co(acac)_2$ (0.02 mmol, 10 mol%., 7.1 mg), *t*-BuOOH (0.8 mmol, 4.0 equiv.,70%w in H_2O, 114 μL) in acetone (1 mL) was added 2-phenyl-1-indanone **2** (0.2 mmol, 41.7 mg) in an argon-filled glove box at room temperature. The reaction was stirred at room temperature for 60 hours. After the reaction mixture was cooled to room temperature, water was added to the mixture. The mixture was extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 20) as eluent to afford 2-(*tert*-butoxy)-2-phenyl-1-indanone **42** (31.8 mg, 61% yield).

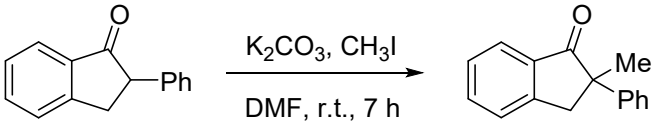
(4) α-Bromination



2 **43**, 47% yield

To a solution of 2-phenyl-1-indanone **2** (0.2 mmol, 41.7 mg) in THF (2.0 mL) was added NH_4OAc (0.04 mmol, 20 mol%, 3.1 mg) and NBS (0.2 mmol, 1.0 equiv., 37.5 mg) in an argon-filled glove box at room temperature. The reaction mixture was refluxed for 24 hours. After the reaction mixture was cooled to room temperature, water was added to the mixture. The mixture was extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 20) as eluent to afford 2-bromo-2-phenyl-1-indanone **43** (27.2 mg, 47% yield).

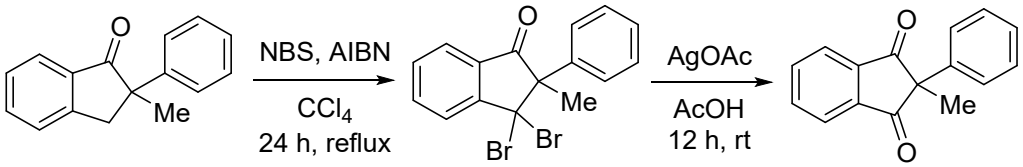
(5) α-Methylation



2 **28**, 78% yield

To a solution of 2-phenyl-1-indanone **2** (0.2 mmol, 41.7 mg) and K_2CO_3 (0.3 mmol, 1.5 equiv., 41.5 mg) in DMF (0.5 mL) was added CH_3I (0.4 mmol, 2.0 equiv., 25 μL) in an argon-filled glove box at room temperature. The reaction mixture was stirred at room temperature for 7 hours. Then water was added and the mixture was extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 20) as eluent to afford 2-methyl-2-phenyl-1-indanone **28** (34.8 mg, 78% yield).

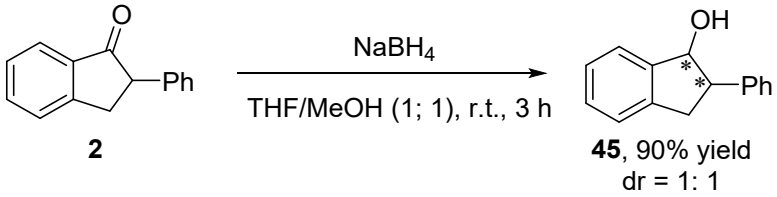
(6) β-oxidation



28 **44**, 49% yield

To a solution of 2-methyl-2-phenyl-1-indanone **28** (0.2 mmol, 44.5 mg) in CCl_4 (2.0 mL) was added AIBN (0.02 mmol, 10 mol%, 9.9 mg) and NBS (0.6 mmol, 3.0 equiv., 106.5 mg) in an argon-filled glove box at room temperature. The reaction mixture was refluxed for 24 hours. After completion, AgOAc (0.6 mmol, 3.0 equiv., 100.1 mg) and AcOH (2.0 mL) was added into the reaction mixture . The mixture was further stirred at room temperature for 12 hours. After the reaction mixture was cooled to room temperature, the mixture was washed with aqueous sodium bicarbonate solution. The combined aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 4) as eluent to afford 2-methyl-2-phenyl-1,3-indandione **44** (23.1 mg, 49% yield).

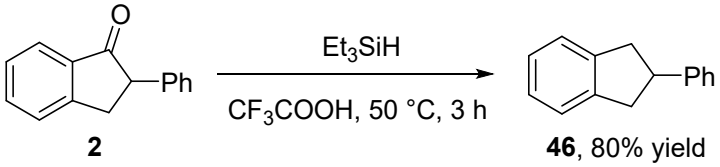
(7) Reduction for the construction of 1-indanol scaffold



2 **45**, 90% yield
dr = 1: 1

To a solution of 2-phenyl-1-indanone **2** (0.2 mmol, 41.7 mg) in anhydrous THF (0.4 mL) and MeOH (0.1 mL) was added $NaBH_4$ (0.3 mmol, 1.5 equiv., 11.3 mg) in an argon-filled glove box at room temperature. The reaction mixture was stirred at room temperature for 3 h. Water was added at 0 °C and the mixture was extracted with DCM (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 4) as eluent to afford 2-phenyl-1-indanol **45** (37.9 mg, 90% yield, dr: 1: 1).

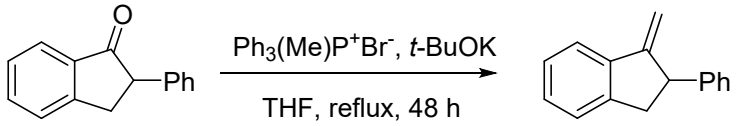
(8) Reduction for the construction of indane scaffold



2 **46**, 80% yield

To a solution of 2-phenyl-1-indanone **2** (0.2 mmol, 41.7 mg) in trifluoroacetic acid (298 μL) was added Et_3SiH (1.0 mmol, 5.0 equiv., 159.0 μL) in an argon-filled glove box at room temperature. The reaction mixture was stirred at 50 °C for 3 h. The mixture was washed with aqueous sodium bicarbonate solution and saturated brine solution. The combined aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 50) as eluent to afford 2-phenyl-indane **46** (31.0 mg, 80% yield).

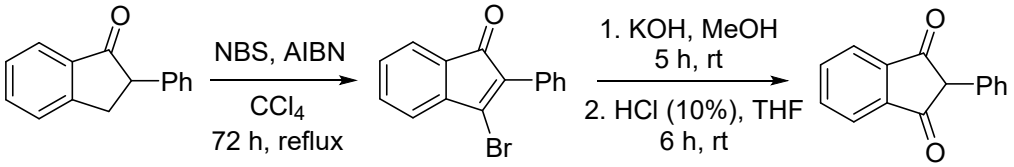
(9) Wittig reaction of indanone



2 **47**, 70% yield

To a solution of $Ph_3(Me)P^+Br^-$(0.32 mmol, 1.6 equiv., 114.3 mg) in THF (2.0 mL) was added *t*-BuOK (0.32 mmol, 1.6 equiv., 35.9 mg) in an argon-filled glove box at room temperature. The reaction mixture was stirred at rt for 30 min. Then, 2-phenyl-1-indanone **2** (0.2 mmol, 41.7 mg) was added. The reaction mixture was stirred at 70 °C for 48 h. Then water was added and the mixture was extracted with DCM (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 50) as eluent to afford 1-methylene-2-phenyl-indane **47** (28.4 mg, 70% yield).

(10) Total synthesis of oral anticoagulant phenindione



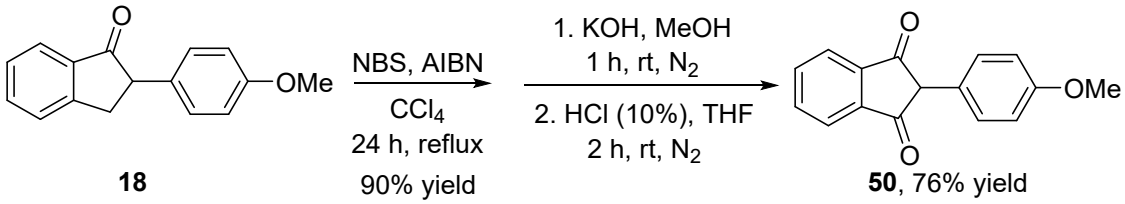
2 **48**, 74% yield **49**, 76% yield

To a solution of 2-phenyl-1-indanone **2** (0.2 mmol, 41.7 mg) in CCl_4 (2.0 mL) was added AIBN (0.02 mmol, 10 mol%, 9.9 mg) and NBS (0.6 mmol, 3.0 equiv., 106.5 mg) in an argon-filled glove box at room temperature. The reaction mixture was refluxed for 72 h. After cooling to room temperature, the reaction mixture was then filtered, diluted with DCM and washed with saturated brine solution. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 20) as eluent to afford 3-bromo-2-phenyl-1-indenone **48** (42.4 mg, 74% yield).

To a solution of 3-bromo-2-phenyl-1-indenone **48** (0.2 mmol, 57.0 mg) in MeOH (2.0 mL) was added KOH (0.4 mmol, 2.0 equiv., 22.4 mg) at room temperature. The reaction mixture was stirred at room temperature for 5 h. After completion, 10%

aqueous HCl solution (0.5 mL) and THF (1.0 mL) was added. The reaction mixture was stirred at room temperature for 6 h. After completion, the mixture was washed with aqueous sodium bicarbonate solution. The combined aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 4) as eluent to afford oral anticoagulant phenindione **49** (33.8 mg, 76% yield).

(11) Total synthesis of oral anticoagulant anisindione

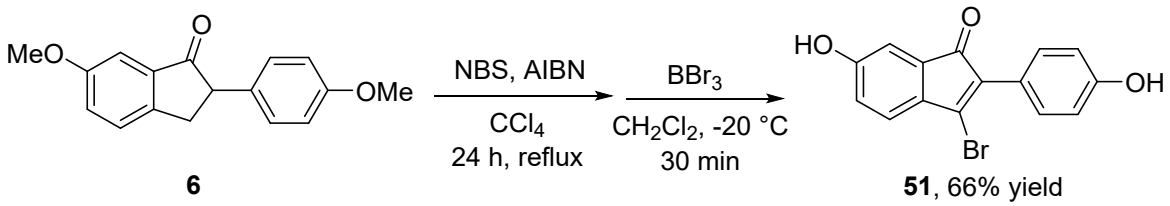


To a solution of 2-(4-methoxyphenyl)-1-indanone **18** (0.2 mmol, 47.7 mg) in CCl_4 (2.0 mL) was added AIBN (0.02 mmol, 10 mol%, 9.9 mg) and NBS (0.6 mmol, 3.0 equiv., 106.5 mg) in an argon-filled glove box at room temperature. The reaction mixture was refluxed for 24 h. After cooling to room temperature, the reaction mixture was then filtered, diluted with DCM and washed with saturated brine solution. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 20) as eluent to afford 3-bromo-2-(4-methoxyphenyl)-1-indenone **intermediate** (57.0 mg, 90% yield).

To a solution of 3-bromo-2-(4-methoxyphenyl)-1-indenone **intermediate** (0.2 mmol, 63.0 mg) in MeOH (2.0 mL) was added KOH (0.4 mmol, 2.0 equiv., 22.4 mg) at room temperature. The reaction mixture was stirred at room temperature for 1 h. After completion, 10% aqueous HCl solution (0.5 mL) and THF (1.0 mL) was added. The reaction mixture was stirred at room temperature for 2 h. After completion, the mixture was washed with aqueous sodium bicarbonate solution. The combined aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The

residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 4) as eluent to afford oral anticoagulant anisindione **50** (38.2 mg, 76% yield).

(12) Total synthesis of estrogen receptor ligand

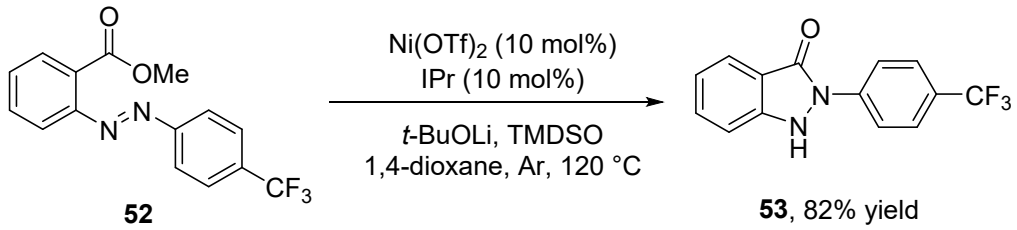


6 **51**, 66% yield

To a solution of 6-methoxy-2-(4-methoxyphenyl)-1-indanone **6** (0.2 mmol, 53.6 mg) in CCl_4 (2.0 mL) was added AIBN (0.02 mmol, 10 mol%, 9.9 mg) and NBS (0.6 mmol, 3.0 equiv., 106.5 mg) in an argon-filled glove box at room temperature. The reaction mixture was refluxed for 24 h. After cooling to room temperature, the reaction mixture was then filtered, diluted with DCM and washed with saturated brine solution. The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using ethyl acetate and petroleum ether (1: 50) as eluent to afford 3-bromo-6-methoxy-2-(4-methoxyphenyl)-1-indenone **intermediate** (30.7 mg, 89% yield).

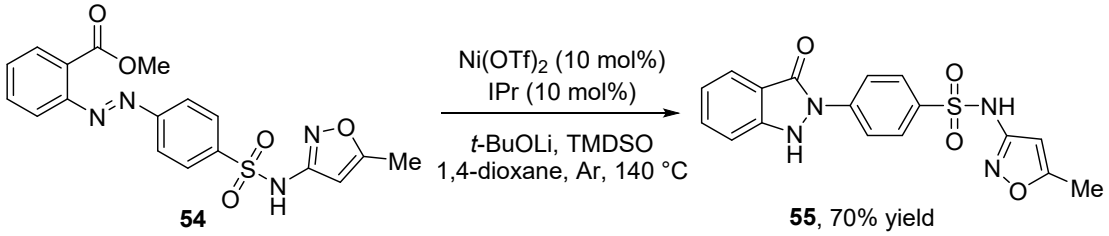
To a solution of 3-bromo-6-methoxy-2-(4-methoxyphenyl)-1-indenone **intermediate** (0.1 mmol, 34.5 mg) in CH_2Cl_2 (3.0 mL) was added BBr_3 (2.0 mmol, 20.0 equiv., 2 mol/L in CH_2Cl_2, 1.0 mL) at -20 ^oC. The reaction mixture was stirred at -20 ^oC for 30 min. After completion, EtOAc and ice-cold water was added to dilute the mixture. The mixture was washed with saturated brine solution. The combined aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using dichloromethane and methanol (40: 1) as eluent to afford the estrogen receptor ligand **51** (20.9 mg, 66% yield).

(13) Total synthesis of TRPV1



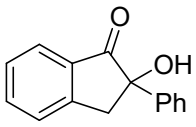
In an argon-filled glove box, $Ni(OTf)_2$ (0.02 mmol, 10 mol%, 7.1 mg), IPr (0.02 mmol, 10 mol%, 7.8 mg) and anhydrous 1,4-dioxane (2.0 mL) were charged into a dry 15-mL Schlenk tube. After stirring for about 10 min at room temperature, methyl (*E*)-2-((4-(trifluoromethyl)phenyl)diazenyl)benzoate **52** (0.2 mmol, 61.7 mg), TMDSO (0.3 mmol, 1.5 equiv., 54 μL) and *t*-BuOLi (0.3 mmol, 1.5 equiv., 24.0 mg) were added sequentially. The reaction mixture was heated under argon with vigorous stirring in a metal bath at 120 °C for 4 h. After cooling to room temperature, EtOAc and water was added to dilute the mixture. The combined aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using acetone and petroleum ether (1: 4, 1% triethylamine) as eluent to afford the TRPV1 antagonist **53** (45.9 mg, 82% yield).

(14) Late-stage functionalization of antimicrobial agent sulfamethoxazole



In an argon-filled glove box, $Ni(OTf)_2$ (0.02 mmol, 10 mol%, 7.1 mg), IPr (0.02 mmol, 10 mol%, 7.8 mg) and anhydrous 1,4-dioxane (2.0 mL) were charged into a dry 15-mL Schlenk tube. After stirring for about 10 min at room temperature, methyl (*E*)-2-((4-(*N*-(5-methylisoxazol-3-yl) sulfamoyl)phenyl)diazenyl)benzoate **54** (0.2 mmol,

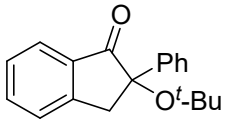
80.0 mg), TMDSO (0.3 mmol, 1.5 equiv., 54 μL) and *t*-BuOLi (0.6 mmol, 3.0 equiv., 48.0 mg) were added sequentially. The reaction mixture was heated under argon with vigorous stirring in a metal bath at 140 °C for 24 h. After cooling to room temperature, EtOAc and water was added to dilute the mixture. The combined aqueous phases were re-extracted with EtOAc (10 mL×3). The combined organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated under reduced pressure. The residue was then purified by flash chromatography on silica gel using acetone and petroleum ether (1: 4, 1% triethylamine) as eluent to afford the indazolone-derived sulfamethoxazole **55** (52.1 mg, 70% yield).



2-hydroxy-2-phenyl-2,3-dihydro-1*H*-inden-1-one (41) [8] **[CAS：60815-12-5]**

The product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 4) as white solid. 30.2 mg, 67% yield. R_f: 0.31 (ethyl acetate/petroleum ether 1: 4).

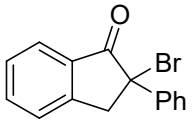
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 7.7 Hz, 1H), 7.71-7.67 (m, 1H), 7.51 (d, *J* = 7.7 Hz, 1H), 7.45 (ψt, *J* = 7.5 Hz, 1H), 7.33-7.23 (m, 5H), 3.59 (q, *J* = 17.1 Hz, 2H), 3.32 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 206.1, 152.0, 142.5, 136.2, 134.5, 128.7, 128.3, 127.9, 126.6, 125.4, 124.9, 81.4, 44.5. **MS (ESI)**: calculated for $C_{15}H_{13}O_2$ $[M+H]^+$ 225.09, found 225.05.



2-(*tert*-butoxy)-2-phenyl-2,3-dihydro-1*H*-inden-1-one (42)

The product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 31.8 mg, 61% yield. R_f: 0.37 (ethyl acetate/petroleum ether 1: 10).

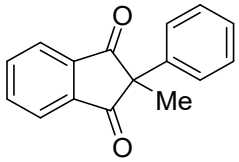
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, *J* = 7.6 Hz, 1H), 7.65 (ψt, *J* = 7.4 Hz, 1H), 7.51 (d, *J* = 7.6 Hz, 1H), 7.41-7.37 (m, 3H), 7.32-7.24 (m, 3H), 4.02 (d, *J* = 17.4 Hz, 1H), 3.57 (d, *J* = 17.4 Hz, 1H), 1.28 (s, 9H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 201.7, 151.6, 138.2, 135.7, 135.2, 128.6, 128.3, 127.9, 126.4, 126.0, 125.1, 89.5, 80.7, 38.8, 26.8. **MS (ESI)**: calculated for $C_{19}H_{21}O$ $[M+H]^+$ 281.15, found 281.00



2-bromo-2-phenyl-2,3-dihydro-1*H*-inden-1-one (43)[9] **[CAS: 5728-94-9]**

The product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 20) as white solid. 27.2 mg, 47% yield. R_f: 0.36 (ethyl acetate/petroleum ether 1: 10).

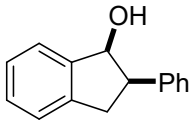
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.93 (d, *J* = 7.4 Hz, 1H), 7.76-7.68 (m, 3H), 7.48 (ψt, *J* = 7.2 Hz, 2H), 7.40-7.29 (m, 3H), 4.16-4.06 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.7, 149.0, 139.3, 136.1, 133.3, 128.8, 128.6, 128.5, 127.9, 126.3, 126.0, 63.1, 47.7. **MS (ESI)**: calculated for $C_{15}H_{11}BrO$ $[M+Na]^+$ 308.99, found 308.99.



2-methyl-2-phenyl-1*H*-indene-1,3(2*H*)-dione (44)[10] **[CAS：2136-69-8]**

The product was isolated by flash chromatography (acetone/petroleum ether 1: 4) as white solid. 23.1 mg, 49% yield. R_f: 0.32 (acetone/petroleum ether 1: 4).

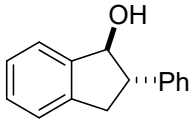
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.07-8.02 (m, 2H), 7.90-7.85 (m, 2H), 7.36-7.22 (m, 5H), 1.72 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 202.0, 141.5, 137.9, 136.1, 129.0, 127.8, 126.8, 124.0, 58.1, 20.2. **MS (ESI)**: calculated for $C_{16}H_{12}KO_2$ $[M+K]^+$ 275.05, found 274.95.



***cis*-2-phenyl-2,3-dihydro-1*H*-inden-1-ol (45-*cis*)**

The product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 4) as white solid. 20.2 mg, 48% yield. R_f: 0.43 (ethyl acetate/petroleum ether 1: 4).

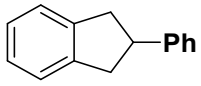
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.45 (d, *J* = 7.0 Hz, 1H), 7.37-7.25 (m, 8H), 5.25 (d, *J* = 2.7 Hz, 1H), 3.74 (q, *J* = 7.1 Hz, 1H), 3.39 (dd, *J* = 15.8, 7.8 Hz, 1H), 3.22 (dd, *J* = 15.8, 7.7 Hz, 1H), 1.42 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 144.0, 143.3, 139.4, 129.0, 128.9, 128.8, 127.2, 127.1, 125.3, 124.9, 77.6, 51.3, 35.9. **HRMS (ESI)**: calculated for $C_{15}H_{14}NaO$ $[M+Na]^+$ 233.0937, found 233.0934.



***trans*-2-phenyl-2,3-dihydro-1*H*-inden-1-ol (45-*trans*)**

The product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 4) as white solid. 17.7 mg, 42% yield. R_f: 0.41 (ethyl acetate/petroleum ether 1: 4).

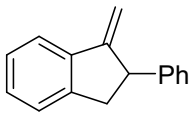
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.42-7.39 (m, 1H), 7.38-7.32 (m, 4H), 7.30-7.25 (m, 4H), 5.26 (d, *J* = 7.0 Hz, 1H), 3.44-3.24 (m, 2H), 3.11-3.01 (m, 1H), 2.09 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 144.2, 142.5, 141.3, 128.8, 128.5, 127.7, 127.3, 126.9, 124.8, 124.0, 82.9, 56.8, 37.8. **m.p.**: 81.9 °C. **HRMS (ESI)**: calculated for $C_{15}H_{14}NaO$ $[M+Na]^+$ 233.0937, found 233.0934.



2-phenyl-2,3-dihydro-1*H*-indene (46)[11] **[CAS：22253-11-8]**

The product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 50) as white solid. 31.0 mg, 80% yield. R_f: 0.74 (ethyl acetate/petroleum ether 1: 10).

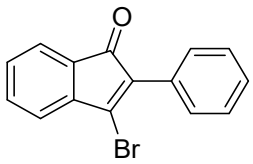
^{1}H NMR (400 MHz, $CDCl_3$) δ 7.33-7.27 (m, 4H), 7.25-7.15 (m, 5H) 3.73-3.65 (p, *J* = 8.6 Hz, 1H), 3.34 (dd, *J* = 15.3, 8.1 Hz, 2H), 3.08 (dd, *J* = 15.4, 9.0 Hz, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 145.6, 143.1, 128.6, 127.2, 126.6, 126.3, 124.5, 45.6, 41.1. **HRMS (APCI)**: calculated for $C_{15}H_{15}$ $[M+H]^+$ 195.1168, found 195.1165.



1-methylene-2-phenyl-2,3-dihydro-1*H*-indene (47) [12] **[CAS：207619-59-8]**

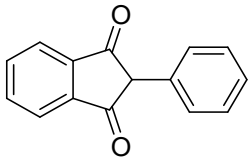
The product was isolated by flash chromatography (ethyl acetate/petroleum ether 1: 50) as white solid. 28.4 mg, 70% yield. R_f: 0.71 (ethyl acetate/petroleum ether 1: 10).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54-7.51 (m, 1H), 7.32-7.20 (m, 8H), 5.55 (d, *J* = 2.7 Hz, 1H), 4.77 (d, *J* = 2.3 Hz, 1H), 4.16-4.12 (m, 1H), 3.48 (dd, *J* = 16.5, 9.0 Hz, 1H), 3.08 (dd, *J* = 16.5, 5.8 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 147.7, 142.6, 140.5, 137.8, 134.9, 128.5, 128.4, 126.8, 126.6, 124.9, 123.5, 119.3, 41.2, 12.1. **HRMS (APCI)**: calculated for $C_{16}H_{15}$ $[M+H]^+$ 207.1168, found 207.1194.



3-bromo-2-phenyl-1*H*-inden-1-one (48) [13] **[CAS：19096-28-7]**

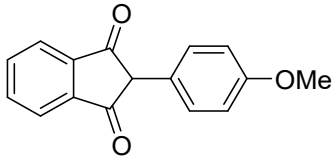
The product was isolated by flash chromatography (ethyl acetate /petroleum ether 1: 20) as orange solid. 42.2 mg, 74% yield. R_f: 0.40 (ethyl acetate /petroleum ether 1: 20). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.69-7.67 (m, 2H), 7.53-7.38 (m, 5H), 7.36-7.29 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 192.6, 143.5, 142.3, 135.1, 134.2, 130.1, 130.0, 129.9, 129.6, 128.9, 128.4, 122.4, 121.6. **HRMS (APCI)**: calculated for $C_{15}H_9BrNaO$ $[M+Na]^+$ 306.9729, found 306.9733.



2-phenyl-1*H*-indene-1,3(2*H*)-dione (49) [14] **[CAS：83-12-5]**

The product was isolated by flash chromatography (acetone/petroleum ether 1: 4) as white solid. 33.8 mg, 76% yield. R_f: 0.30 (acetone/petroleum ether 1: 4).

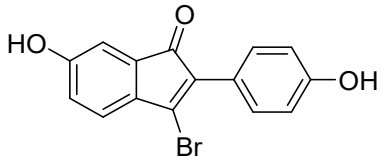
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09-8.05 (m, 2H), 7.92-7.88 (m, 2H), 7.37-7.28 (m, 3H), 7.20-7.18 (m, 2H), 4.27 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.4, 142.8, 136.1, 133.3, 129.1, 128.9, 128.0, 123.9, 60.0. **MS (ESI)**: calculated for $C_{15}H_{11}O_2$ $[M+H]^+$ 223.08, found 223.00.



2-(4-methoxyphenyl)-1*H*-indene-1,3(2*H*)-dione (50) [15] **[CAS：117-37-3]**

The product was isolated by flash chromatography (acetone/petroleum ether 1: 4) as white solid. 38.2 mg, 76% yield. R_f: 0.29 (acetone/petroleum ether 1: 4).

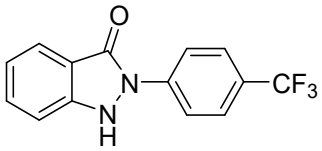
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.09-8.04 (m, 2H), 7.92-7.88 (m, 2H), 7.13-7.09 (m, 2H), 6.90-6.86 (m, 2H), 4.21 (s, 1H), 3.78 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.8, 159.4, 142.7, 136.1, 130.0, 125.3, 123.9, 114.7, 59.3, 55.4. **MS (ESI)**: calculated for $C_{16}H_{13}O_3$ $[M+H]^+$ 253.09, found 253.05.



3-bromo-6-hydroxy-2-(4-hydroxyphenyl)-1*H*-inden-1-one (51)[16] **[CAS：594816-63-4]**

The product was isolated by flash chromatography (acetone/petroleum ether 1: 4) as white solid. 20.9 mg, 66% yield. R_f: 0.20 (acetone/petroleum ether 1: 2).

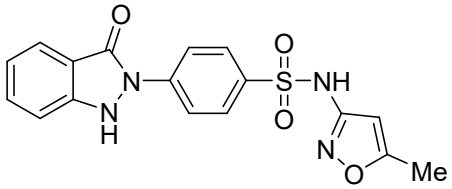
^{1}H NMR (400 MHz, Acetone-d_6) δ 9.13 (br, 1H), 8.69 (br, 1H), 7.57-7.54 (m, 2H), 7.14-7.12 (m, 1H), 6.97-6.92 (m, 4H). **^{13}C NMR (101 MHz, Acetone-d_6)** δ 193.2, 160.7, 158.7, 141.6, 135.4, 134.0, 132.8, 131.8, 123.4, 122.4, 119.4, 116.0, 111.7. **MS (ESI)**: calculated for $C_{15}H_{10}BrO_3$ $[M+H]^+$ 316.98, found 317.20.



2-(4-(trifluoromethyl)phenyl)-1,2-dihydro-3*H*-indazol-3-one (53) [17] **[CAS：889359-36-8]**

Following the general procedure, the reaction was heated at 120 ºC for 4 h. The product was isolated by flash chromatography (acetone/petroleum ether 1: 4) as white solid. 45.9 mg, 82% yield. R_f: 0.32 (acetone/petroleum ether 1: 4, 1% triethylamine).

^{1}H NMR (400 MHz, DMSO-d_6) δ 10.75 (s, 1H), 8.16 (d, J = 8.6 Hz, 2H), 7.88 (d, J = 8.7 Hz, 2H), 7.79 (d, J = 7.8 Hz, 1H), 7.67-7.64 (m, 1H), 7.40 (d, J = 8.2 Hz, 1H), 7.23 (ψt, J = 7.3 Hz, 1H). **^{13}C NMR (101 MHz, DMSO-d_6)** δ 161.0, 147.2, 140.8, 133.2, 126.4 (q, J = 3.8 Hz), 124.6 (q, J = 32.1Hz), 124.2 (q, J = 271.5 Hz), 123.7, 122.3, 118.4, 117.9, 112.9. **^{19}F NMR (377 MHz, DMSO-d_6)** δ -60.5. **MS (ESI)**: calculated for $C_{14}H_{10}F_3N_2O$ $[M+H]^+$ 279.07, found 279.00.



***N*-(5-methylisoxazol-3-yl)-4-(3-*oxo*-1,3-dihydro-2*H*-indazol-2-yl) benzenesulfonamide (55)**

Following the general procedure, the reaction was heated at 140 ºC for 24 h. The product was isolated by flash chromatography (acetone/petroleum ether 1: 4) as white solid. 52.1 mg, 70% yield. R_f: 0.27 (acetone/petroleum ether 1: 4, 1% triethylamine).

^{1}H NMR (400 MHz, DMSO-d_6) δ 11.47 (br, 1H), 10.73 (s, 1H), 8.14 (d, J = 8.8 Hz, 2H), 7.98 (d, J = 8.8 Hz, 2H), 7.78 (d, J = 7.8 Hz, 1H), 7.65 (ψt, J = 7.6 Hz, 1H), 7.39

(d, J = 8.2 Hz, 1H), 7.23 (ψt, J = 7.5 Hz, 1H), 6.16 (s, 1H), 2.30 (s, 3H). **^{13}C NMR (101 MHz, DMSO-d_6)** δ 170.4, 161.1, 157.6, 147.3, 141.2, 134.6, 133.4, 128.2, 123.7, 122.4, 118.4, 117.7, 112.9, 95.5, 12.1. **HRMS (ESI)**: calculated for $C_{17}H_{14}N_4NaSO_4$ $[M+Na]^+$ 371.0809, found 371.0806.

2.5 Evaluation of the Free Radical Scavenging Activity by ABTS$^+$ Method

Measurement of ABTS free radical scavenging activity

The experiment to measure antioxidant activity of the compounds was performed according to method described in the literature.[18] In brief, 10 μL of solution containing 1000, 500, 250, 125, 62.5, 31.25 and 15.63 μM compounds (dissolved in DMSO) were incubated with 190 μL 80% ethanol working solution containing 0.665 mM ABTS$^+$, 0.2356 mM $K_2S_5O_8$ in a 96-well plate at room temperature for 30 min, and then the absorbance of the mixture at 734 nm was measured as A_i. DMSO aqueous solution with the working solution and 80% ethanol alone was used to measure $A_{o\ and}$ A_j, respectively. ABTS free radical scavenging rate of the compounds was calculated as follows:

$$S(\%) = \frac{A_o - (A_i - A_j)}{A_o} \times 100\%$$

.

Then the dose-response relationship curve was drawn to calculate the IC_{50} value. Trolox standard solution was set as a reference.

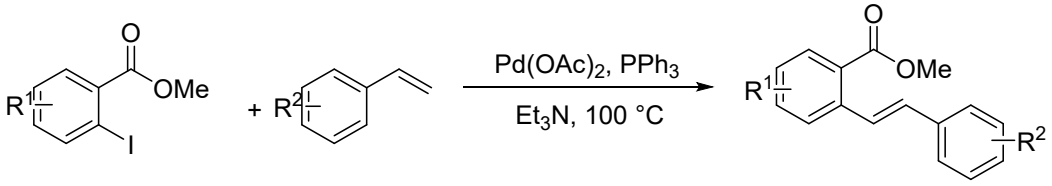
Table S11. Preliminary *in vitro* evaluation of free radical scavenging activities.

Compd	IC_{50} (μM)	Compd	IC_{50} (μM)
2	>50	**44**	>50
19	14.75	**49**	11.34
36	5.84	**50**	23.54
37	5.97	**51**	11.19
38	>50	**53**	6.53
39	10.65	**55**	5.69
40	5.43	**Trolox**	6.25

2.6 General Procedure for the Synthesis of Substrates

(1) A general procedure for preparing methyl 2-styrylbenzoate derivatives [19,20]

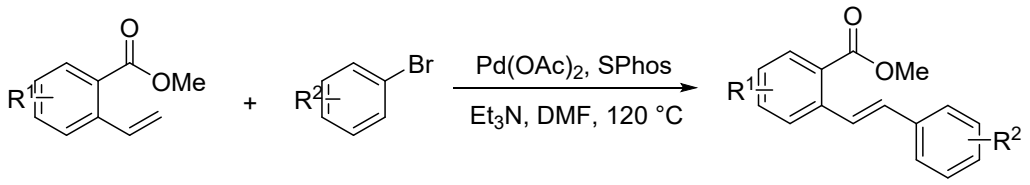
Method a:



A mixture of methyl *o*-iodobenzoate derivatives (5 mmol, 1.0 equiv.), styrene derivatives (6 mmol, 1.2 equiv.), $Pd(OAc)_2$ (3.5 mol%), PPh_3 (7 mol%) in Et_3N was

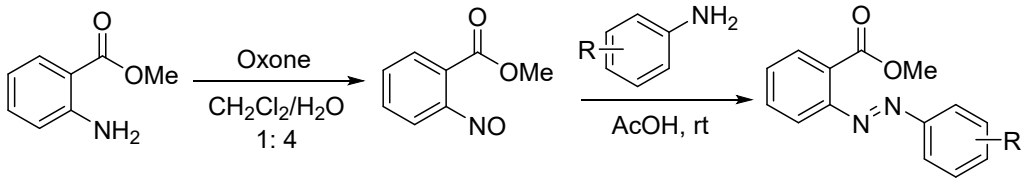
stirred at 100 °C overnight. The reaction mixture was cooled to room temperature, and EtOAc and 1 M aqueous HCl was added. The resulting mixture was passed through a Celite pad to remove a black solid. Then the reaction mixture was washed with water and brine. The organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography using ethyl acetate and petroleum ether as eluent to obtain the product.

Method b:



A mixture of methyl *o*-vinylbenzoate derivatives (5 mmol, 1.0 equiv.), bromobenzene derivatives (6 mmol, 1.2 equiv.), $Pd(OAc)_2$ (5 mol%), SPhos (7 mol%) and Et_3N in DMF was stirred at 120 °C overnight. The reaction mixture was cooled to room temperature, and EtOAc and 1 M aqueous HCl was added. The resulting mixture was passed through a Celite pad to remove a black solid. Then the reaction mixture was washed with water and brine. The organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography using ethyl acetate and petroleum ether as eluent to obtain the product.

(2) A general procedure for preparing methyl 2-diazenylbenzoate derivatives [21]



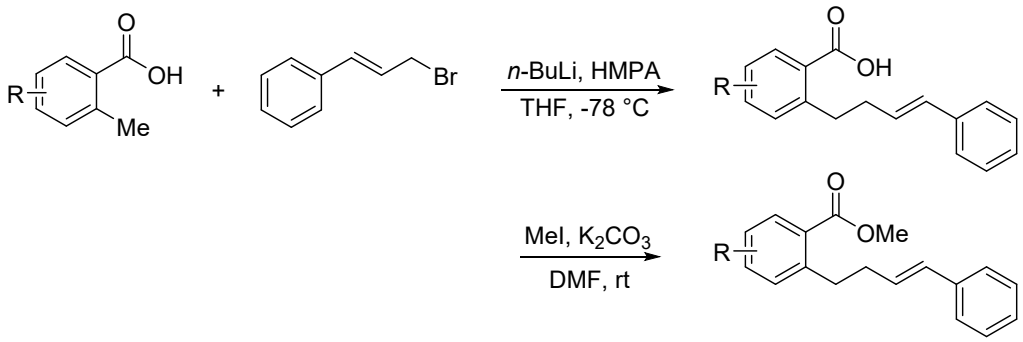
Methyl anthranilate (30.0 mmol, 1.0 equiv.) was dissolved in a dichloromethane-water (1:4) (100 mL) mixture. Oxone was added and the mixture was stirred at room temperature for 24 hours. After completion of the reaction, dichloromethane and water was added. The organic layers were combined, washed with 1 M aqueous HCl, aqueous sodium bicarbonate and brine. The organic phase was dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo to obtain the methyl *o*-nitrosobenzoate.

Then, methyl *o*-nitrosobenzoate was dissolved in AcOH. Aniline derivatives were added and the mixture was stirred at room temperature for 1 hours. After completion of the reaction, EtOAc and water was added. The organic layers were combined and

washed with aqueous sodium bicarbonate and brine. The layers were dried with anhydrous Na_2SO_4, filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography using ethyl acetate and petroleum ether as eluent to obtain the product.

(3) A general procedure for preparing methyl (*E*)-2-(4-phenylbut-3-en-1-yl)benzoate derivatives[22]

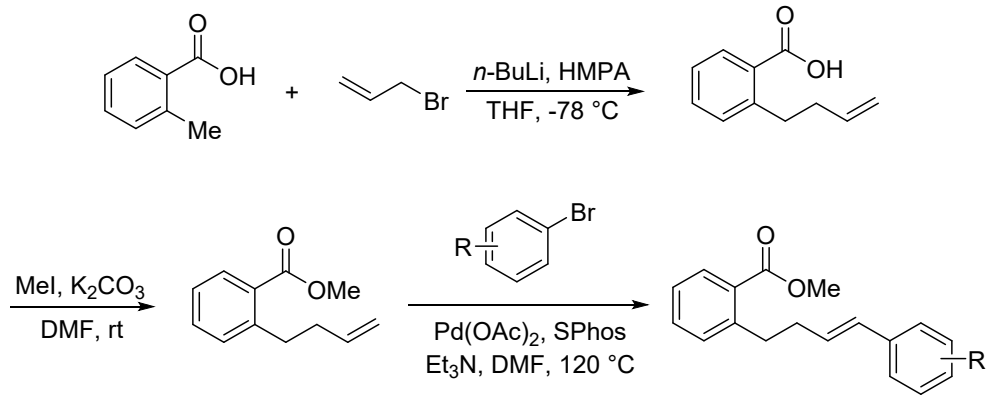
Method a:



To an anhydrous THF (10 mL) solution of *o*-methylbenzoic acid derivatives (5.0 mmol, 1.0 equiv.) was added HMPA (5.0 mmol, 1.0 equiv.) and *n*-BuLi (12.5 mmol, 2.5 equiv.) at -78 ºC under N_2. The reaction mixture was stirred at -78 ºC for 1 h. Then, the solution of (*E*)-(3-bromoprop-1-en-1-yl)benzene (6.0 mmol, 1.2 equiv.) in anhydrous THF (5 mL) was added at -20 ºC, and the reaction was stirred at room temperature for 1h. After completion of the reaction, EtOAc and 1 M aqueous HCl was added, and the organic layers were combined, washed with aqueous sodium bicarbonate and brine. The organic phase was dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography using ethyl acetate and petroleum ether as eluent to obtain (*E*)-2-(4-phenylbut-3-en-1-yl)benzoic acid derivatives.

Then to a DMF (10 mL) solution of (*E*)-2-(4-phenylbut-3-en-1-yl)benzoic acid (3.0 mmol, 1.0 equiv.) derivatives was added K_2CO_3 (4.5 mmol, 1.5 equiv.) and MeI (6.0 mmol, 2.0 equiv.). The mixture was stirred at room temperature for 3 hours. After completion of the reaction, EtOAc and water was added, and the organic layers were combined, washed with aqueous sodium bicarbonate and brine. The organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography using ethyl acetate and petroleum ether as eluent to obtain the product.

Method b:



To an anhydrous THF (10 mL) solution of *o*-methylbenzoic acid derivatives (5.0 mmol, 1.0 equiv.) was added HMPA (5.0 mmol, 1.0 equiv.) and *n*-BuLi (12.5 mmol, 2.5 equiv.) at -78 ºC under N_2. The reaction mixture was stirred at -78 ºC for 1 h. Then, the solution of allyl bromide (6.0 mmol, 1.2 equiv.) in anhydrous THF (5 mL) was added at -20 ºC, and the reaction mixture was stirred at room temperature for 1h. After completion of the reaction, EtOAc and 1 M aqueous HCl was added, and the organic layers were combined, washed with aqueous sodium bicarbonate and brine. The organic phase was dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography using ethyl acetate and petroleum ether as eluent to obtain 2-(but-3-en-1-yl)benzoic acid.

To a DMF (10 mL) solution of 2-(but-3-en-1-yl)benzoic acid (3.0 mmol, 1.0 equiv.) was added K_2CO_3 (4.5 mmol, 1.5 equiv.) and MeI (6.0 mmol, 2.0 equiv.). The mixture was stirred at room temperature for 3 hours. After completion of the reaction, EtOAc and water was added, and the organic layers were combined, washed with aqueous sodium bicarbonate and brine. The organic layers were dried over anhydrous Na_2SO_4, filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography using ethyl acetate and petroleum ether as eluent to obtain methyl 2-(but-3-en-1-yl)benzoate.

A mixture of methyl 2-(but-3-en-1-yl)benzoate (3 mmol, 1.0 equiv.), bromobenzene derivatives (3.6 mmol, 1.2 equiv.), $Pd(OAc)_2$ (5 mol%), SPhos (10 mol%) and Et_3N in DMF was stirred at 120 ºC overnight. The reaction mixture was cooled to room temperature, and EtOAc and 1 M aqueous HCl was added. The resulting mixture was passed through a Celite pad to remove a black solid. Then the reaction mixture was washed with water and brine. The organic layers were dried over anhydrous Na_2SO_4,

filtered and concentrated in vacuo. The residue was purified by silica gel flash chromatography using ethyl acetate and petroleum ether as eluent to obtain the product.

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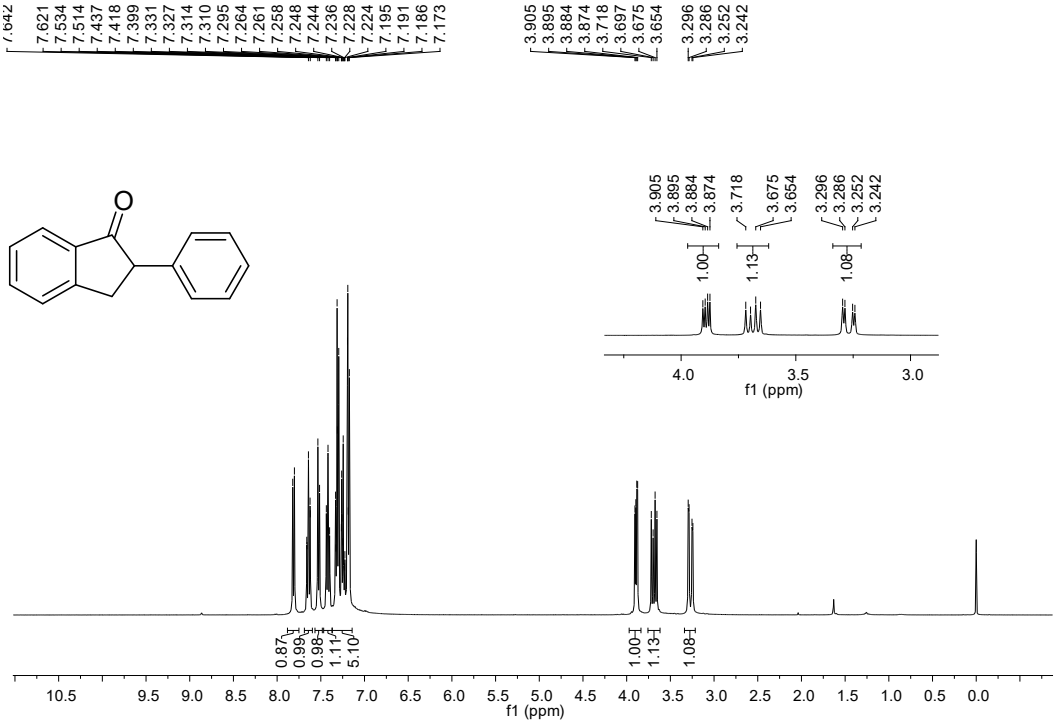
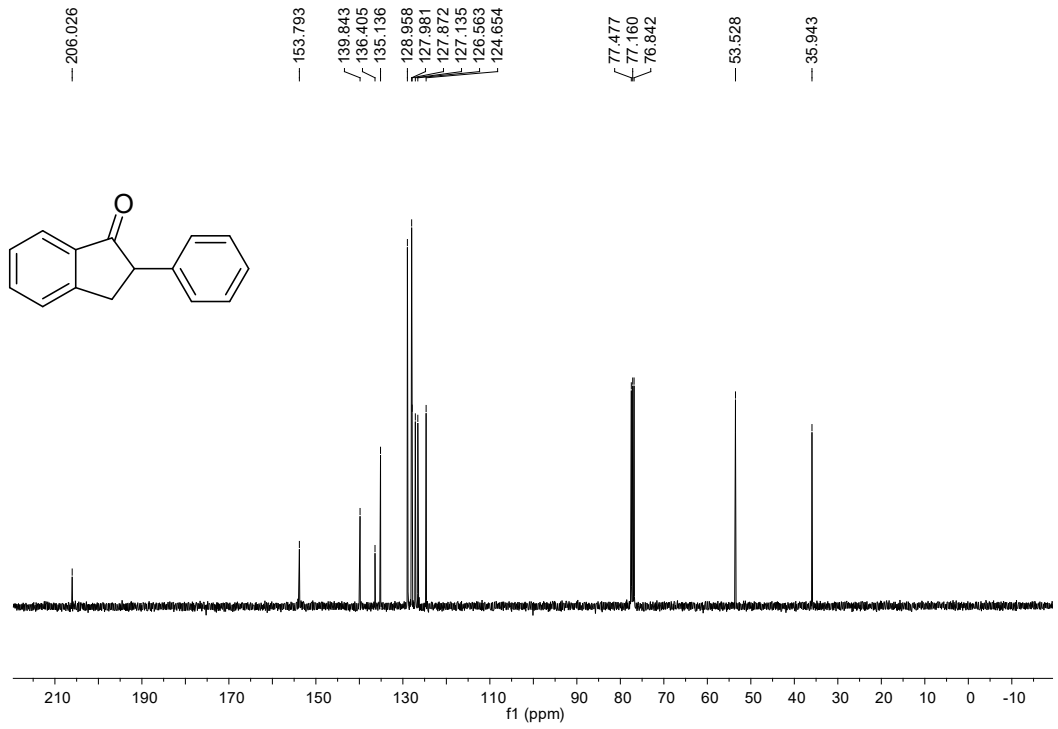
4. ^{1}H and ^{13}C NMR Spectra

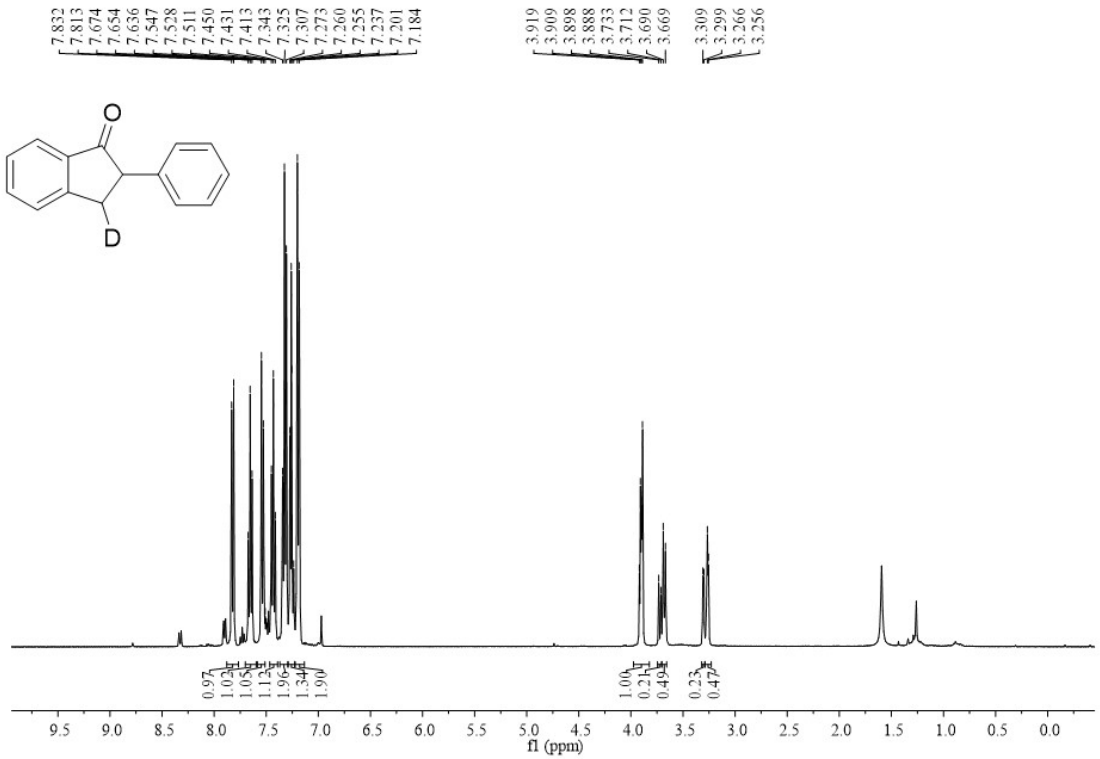
^{1}H NMR spectra of compound **2**



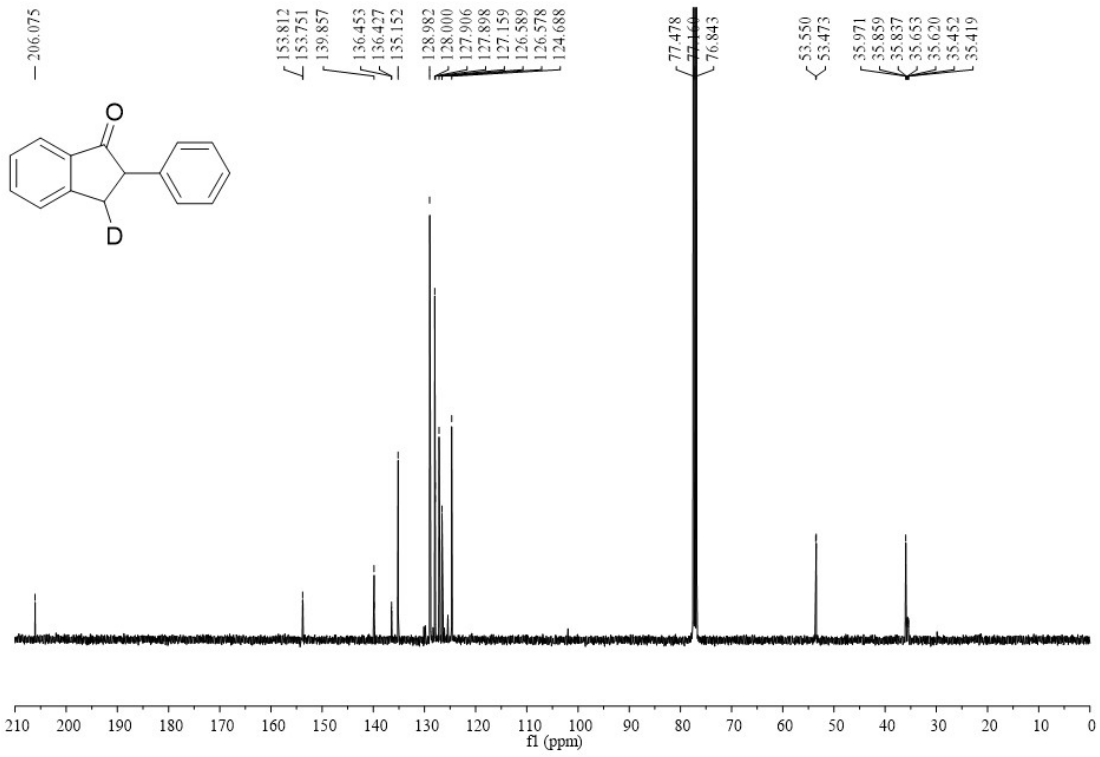
^{13}C NMR spectra of compound **2**



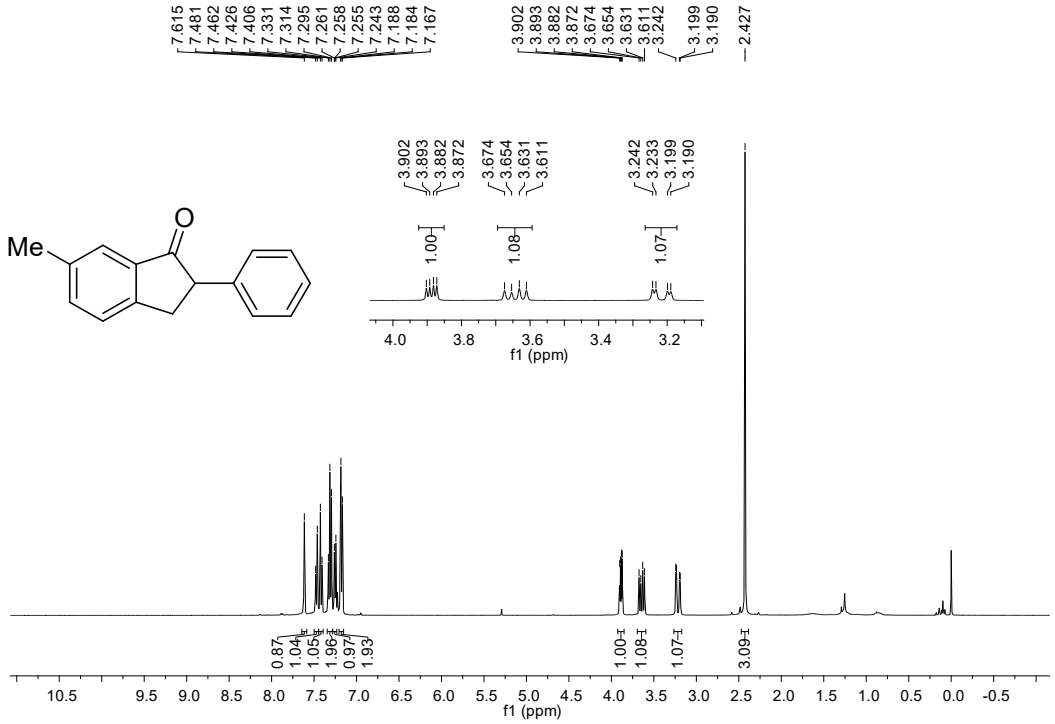
^{1}H NMR spectra of compound **2-*d***



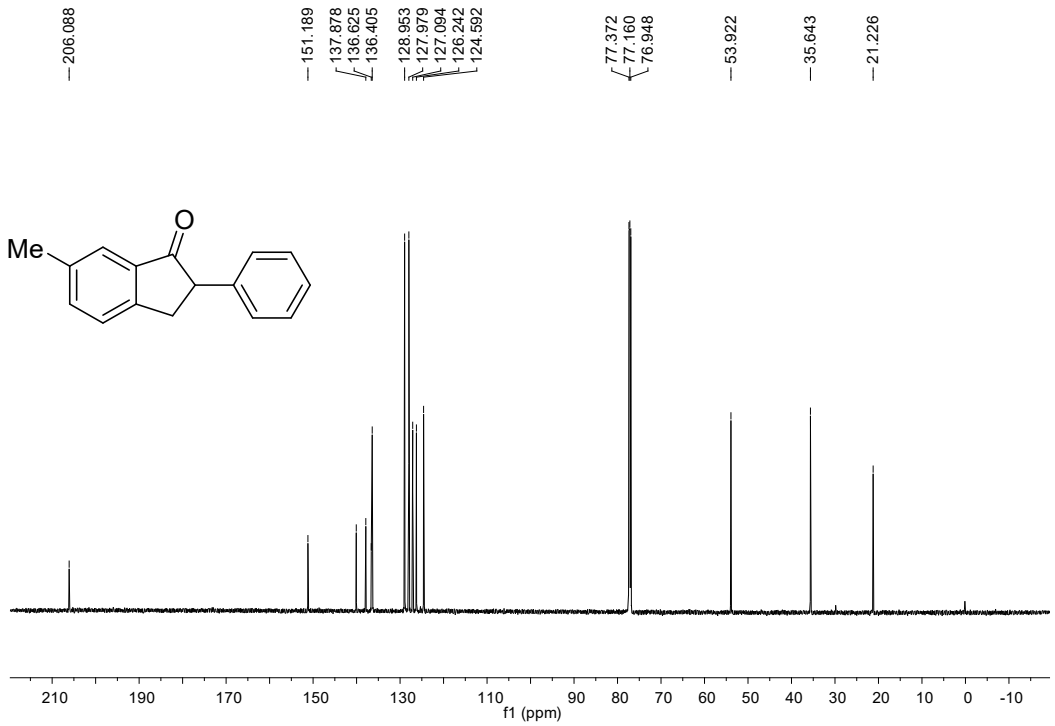
^{13}C NMR spectra of compound **2-*d***



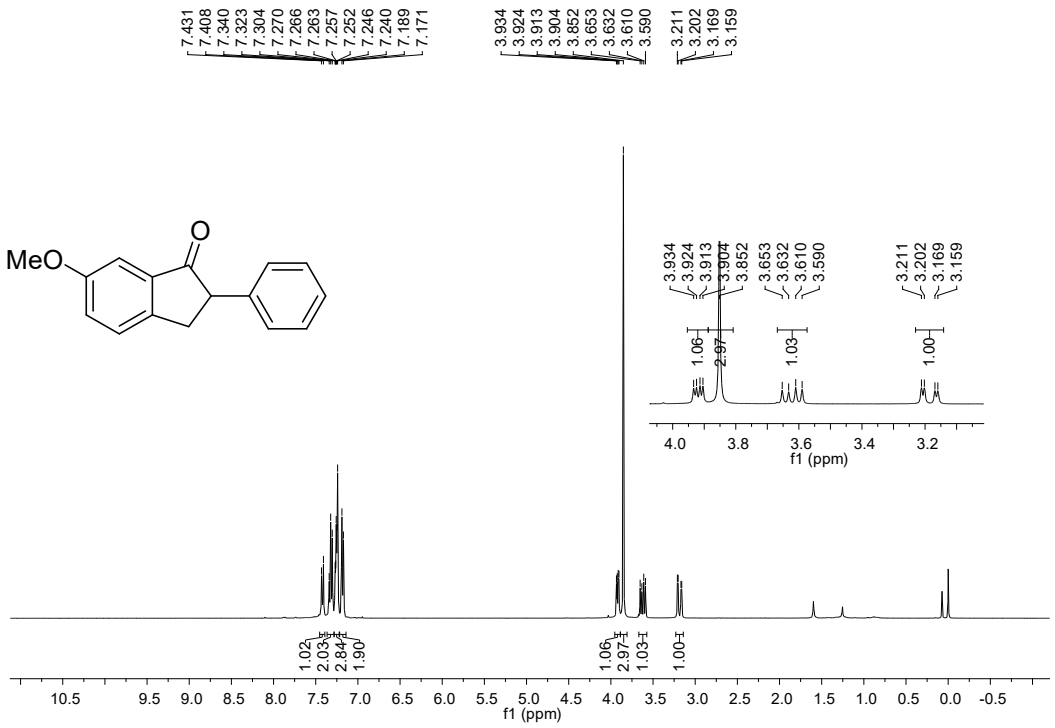
^{1}H NMR spectra of compound **3**



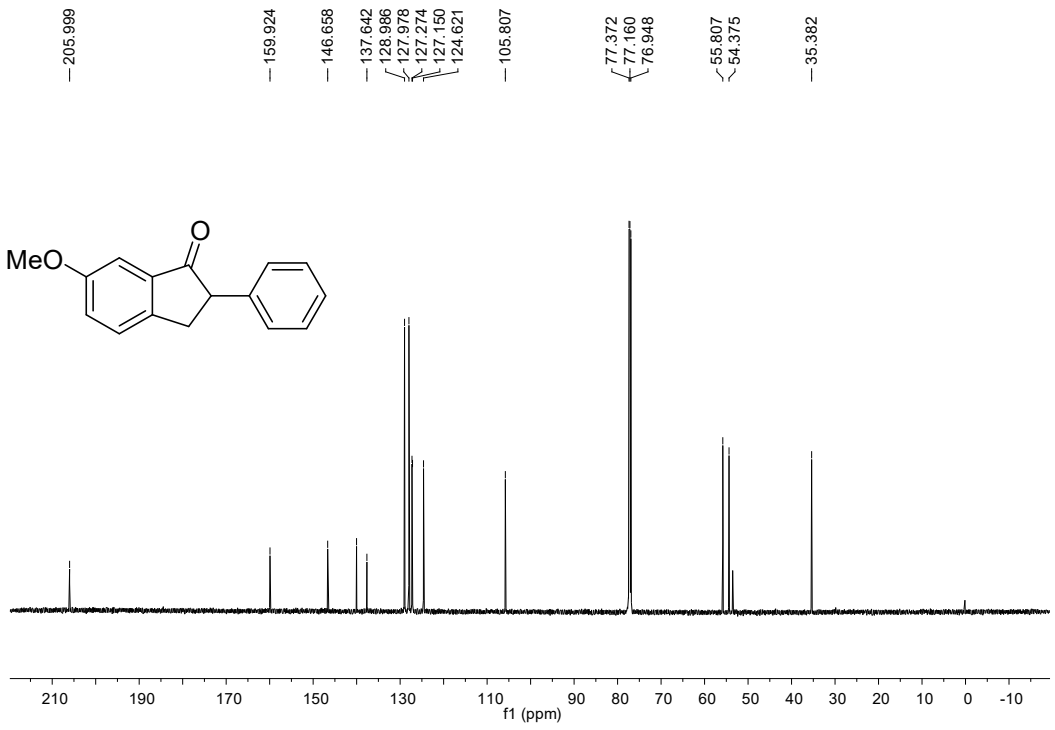
^{13}C NMR spectra of compound **3**



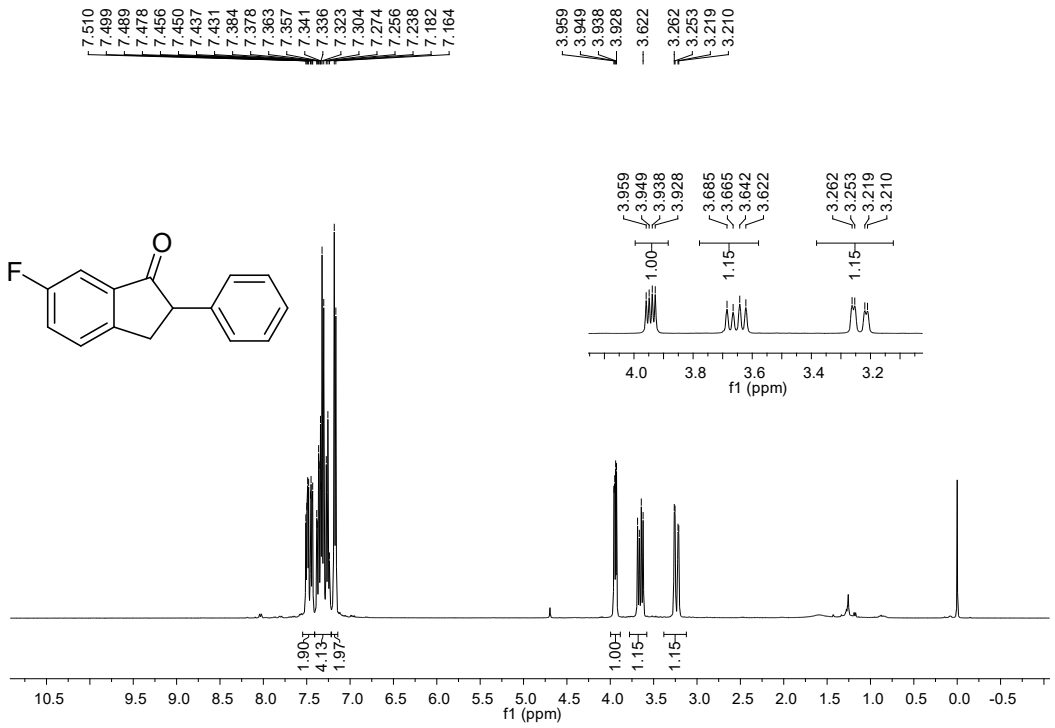
^{1}H NMR spectra of compound **4**



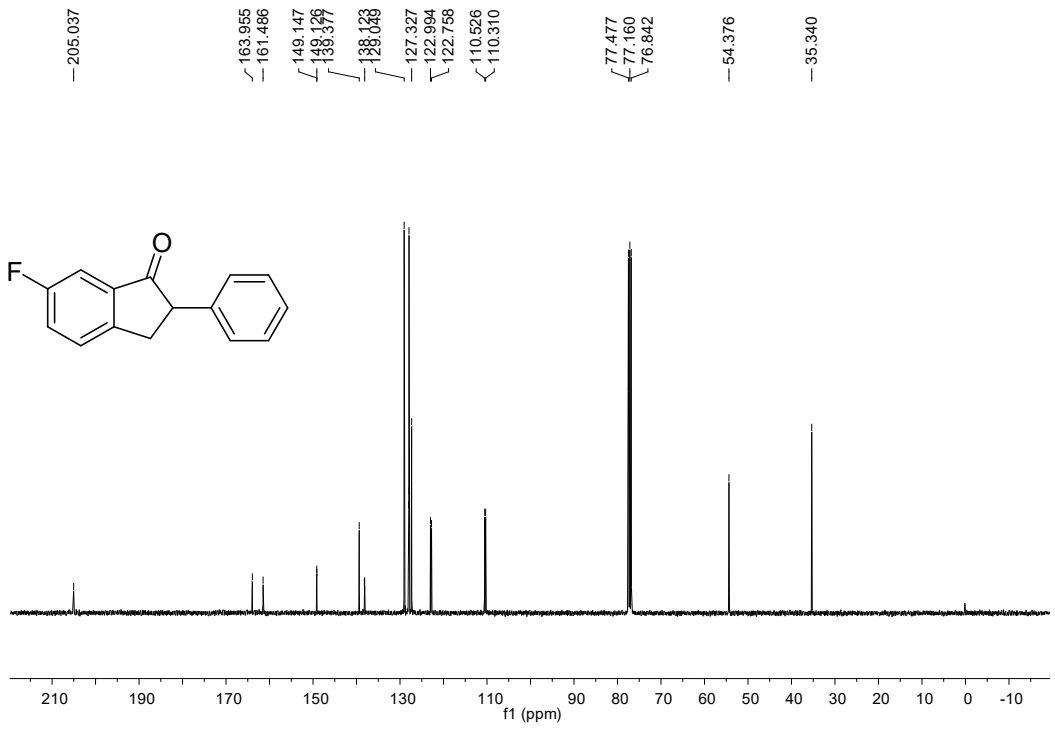
^{13}C NMR spectra of compound **4**



^{1}H NMR spectra of compound **5**

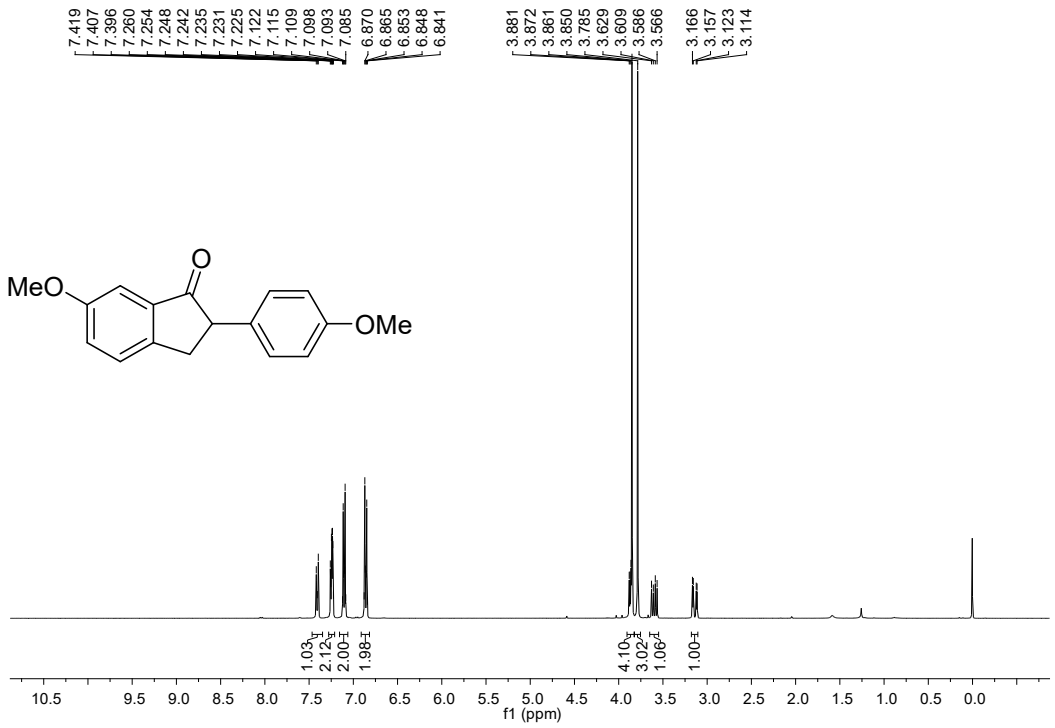


^{13}C NMR spectra of compound **5**

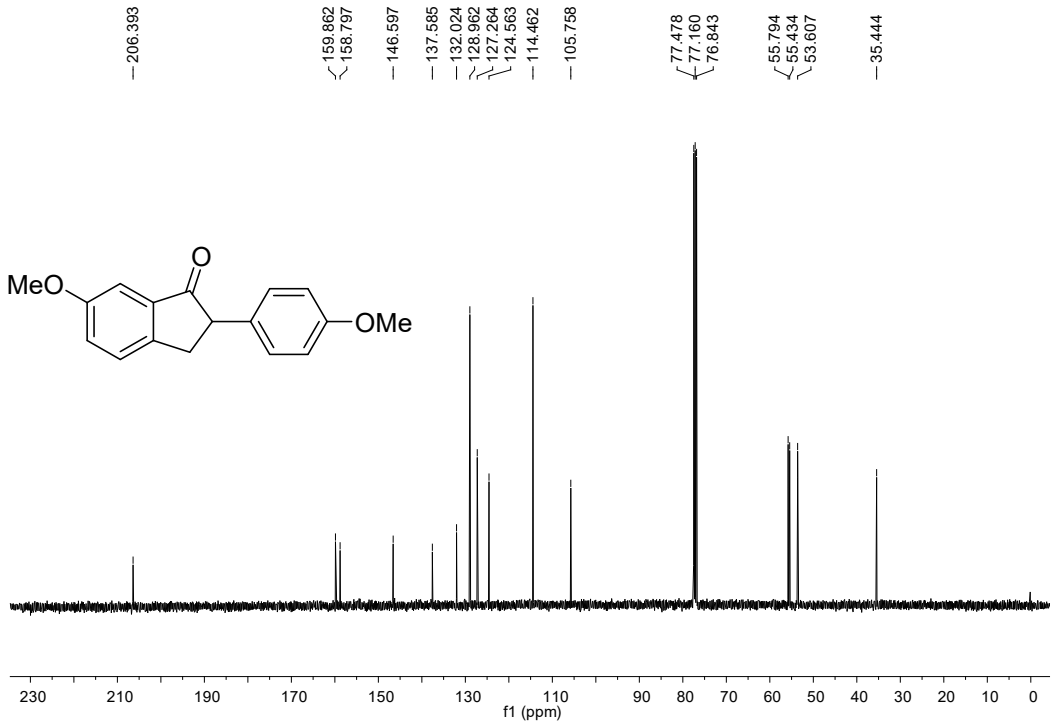


^{19}F NMR spectra of compound **5**

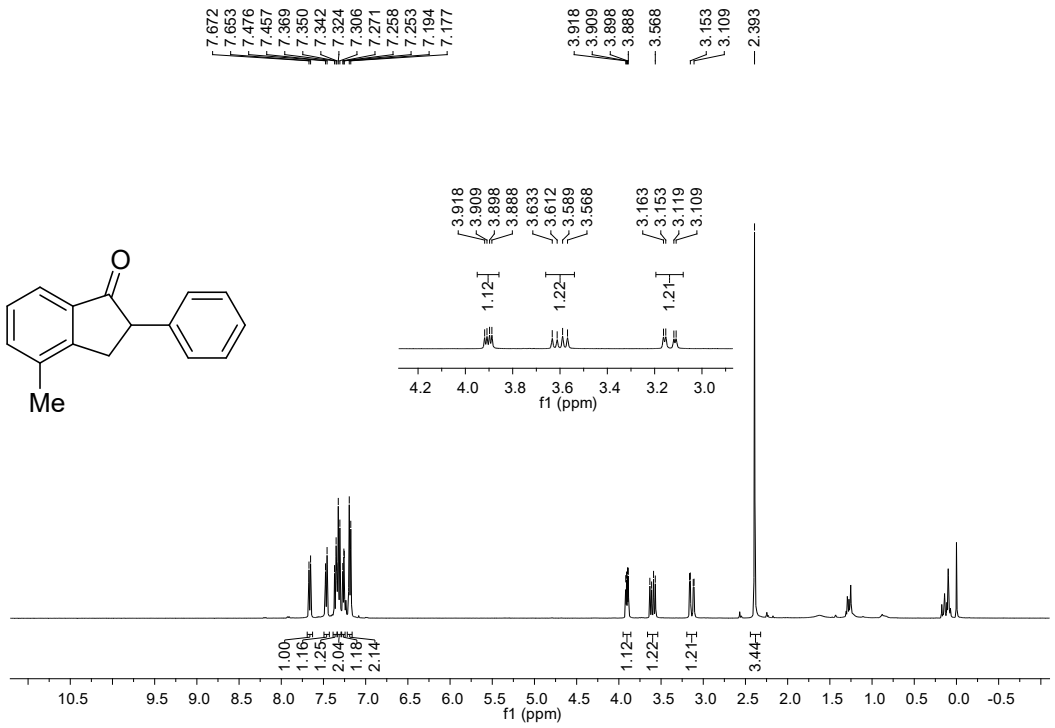
^{1}H NMR spectra of compound **6**



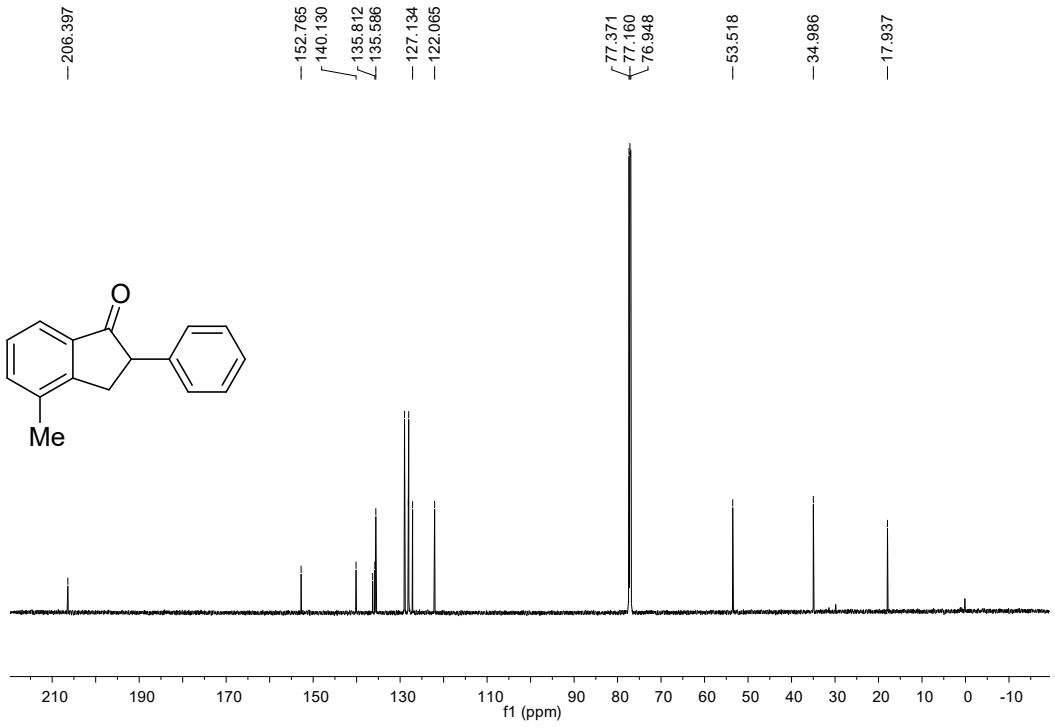
^{13}C NMR spectra of compound **6**



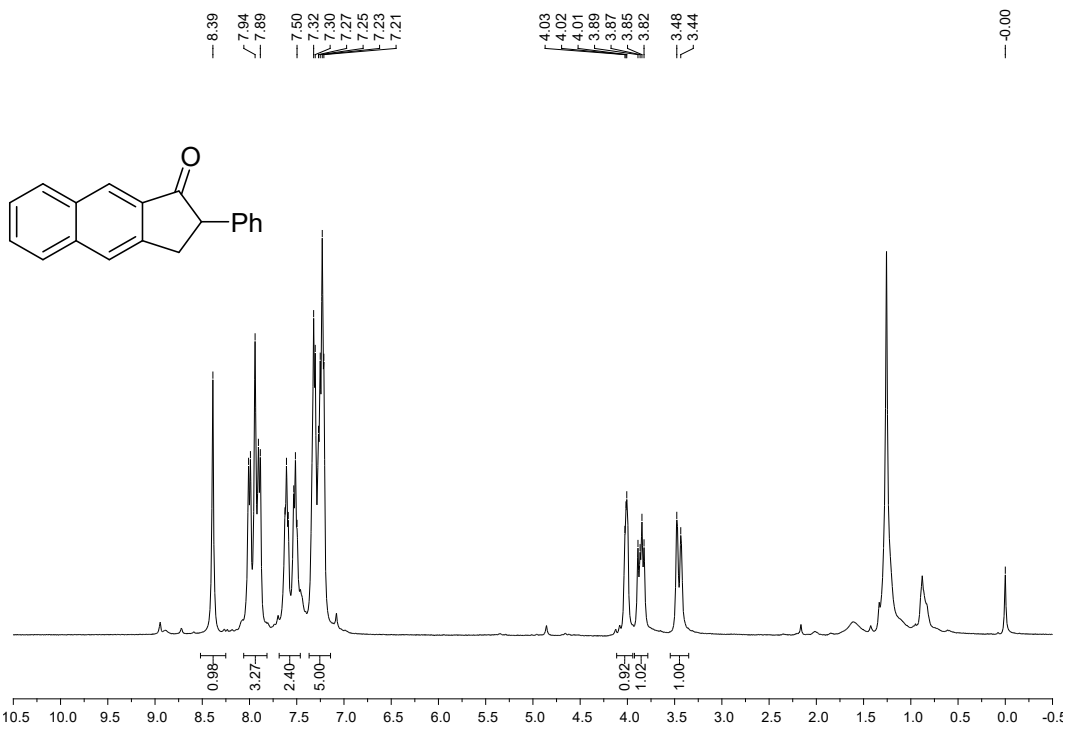
^{1}H NMR spectra of compound **7**



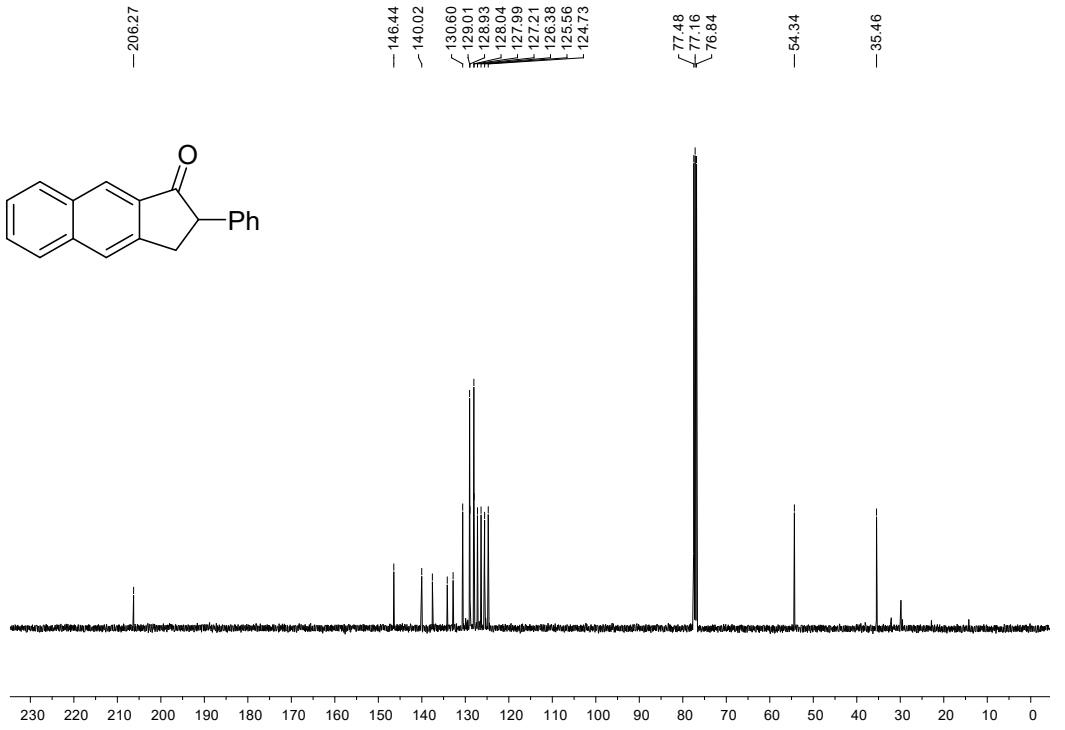
^{13}C NMR spectra of compound **7**



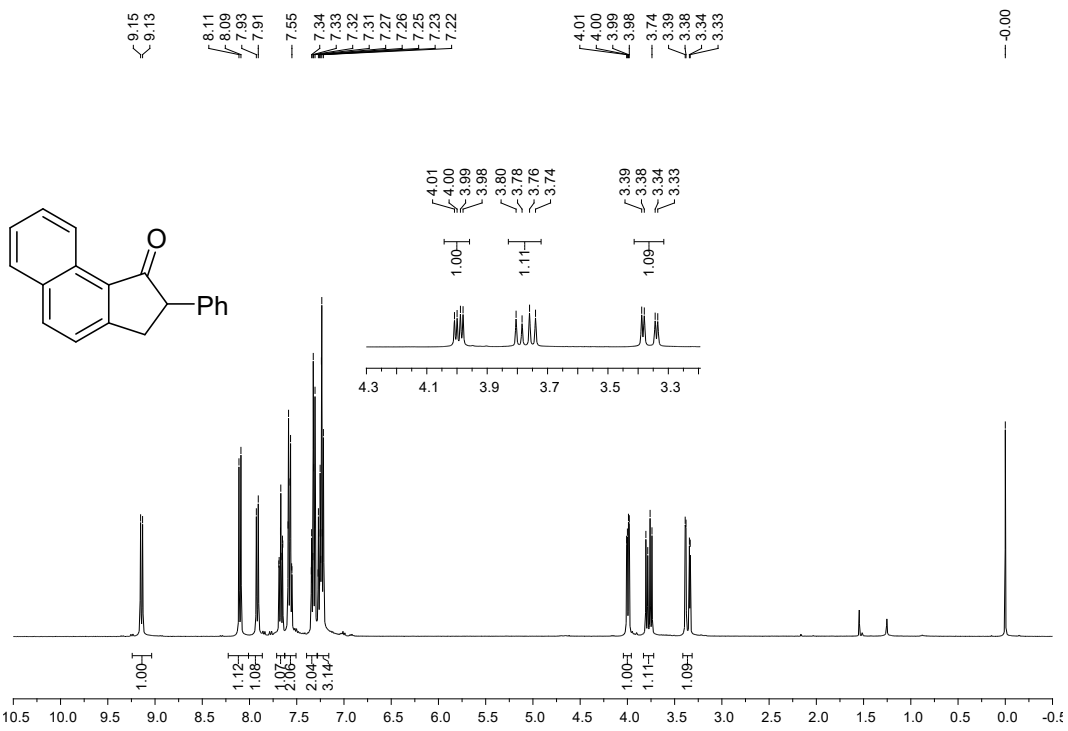
^{1}H NMR spectra of compound **8**



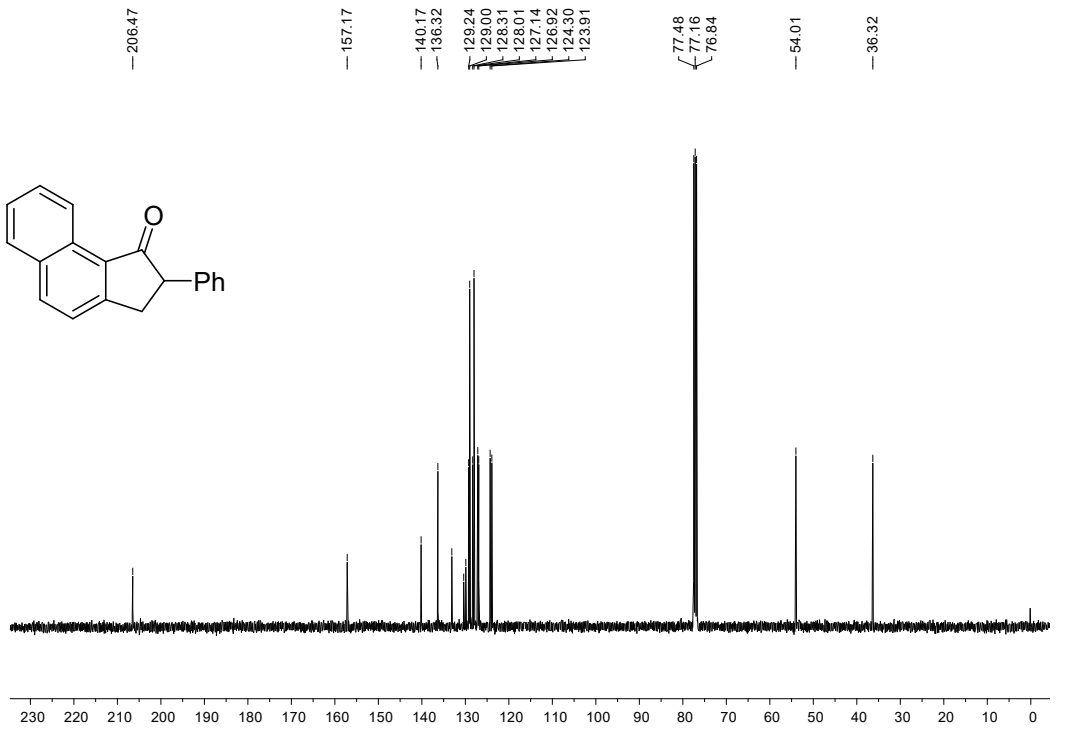
^{13}C NMR spectra of compound **8**



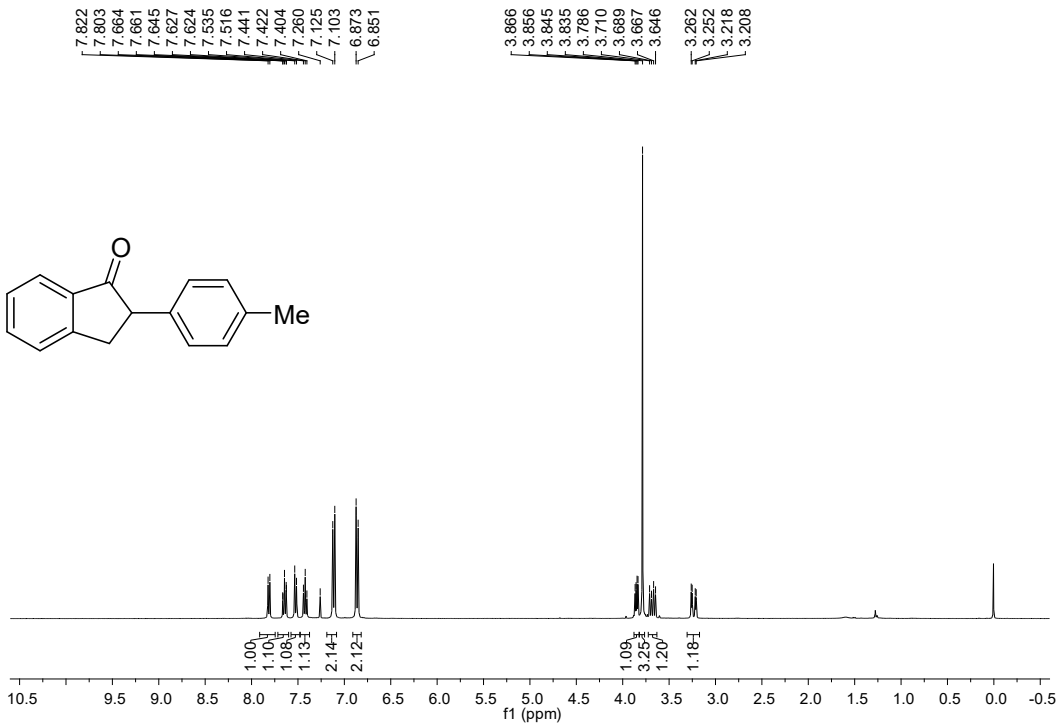
[1]H NMR spectra of compound **9**



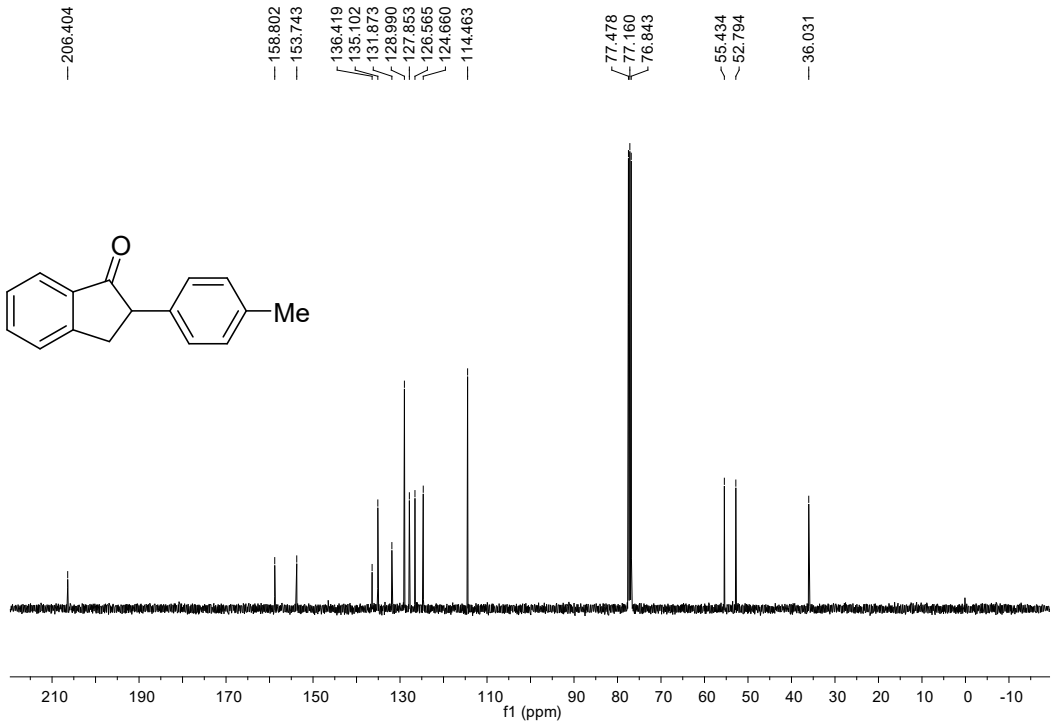
[13]C NMR spectra of compound **9**



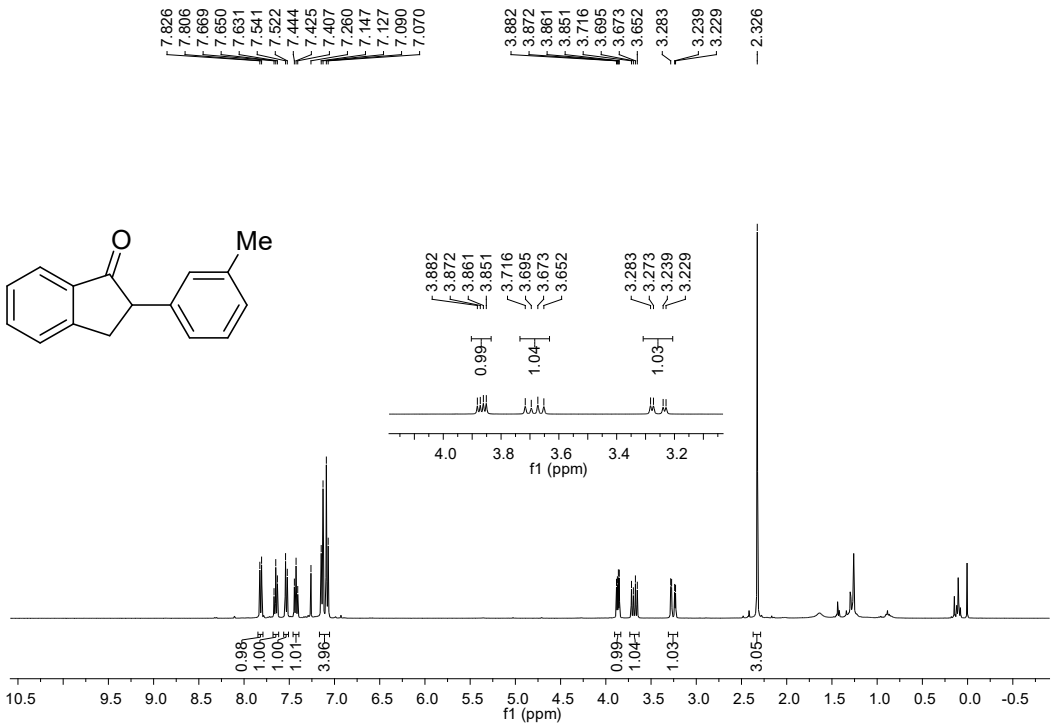
^{1}H NMR spectra of compound **10**



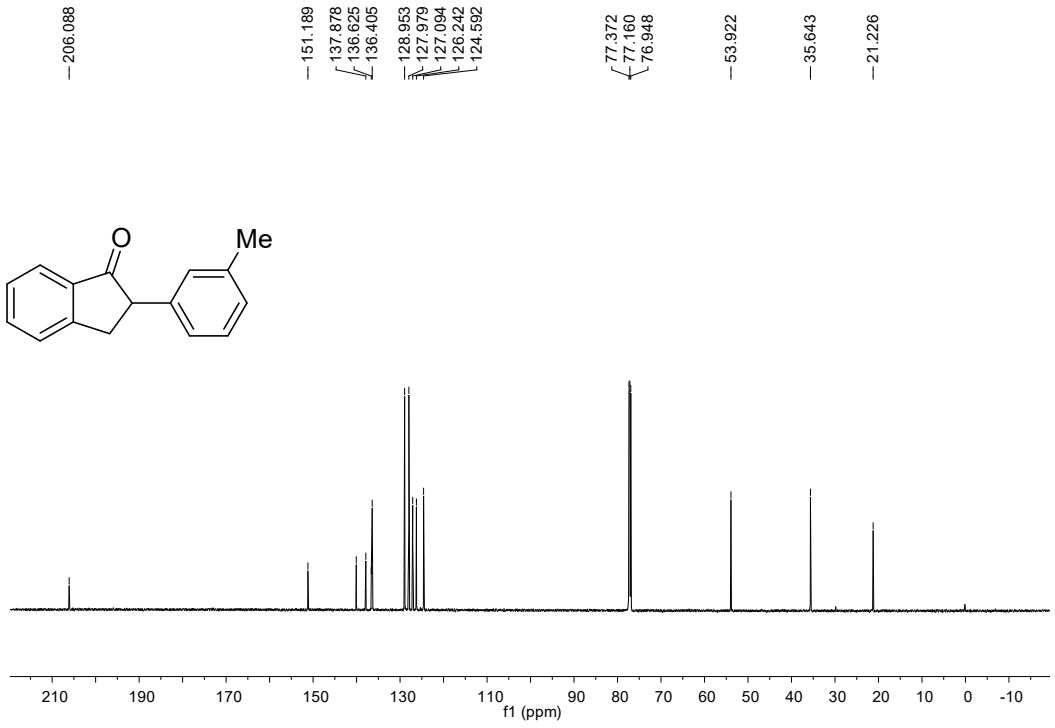
^{13}C NMR spectra of compound **10**



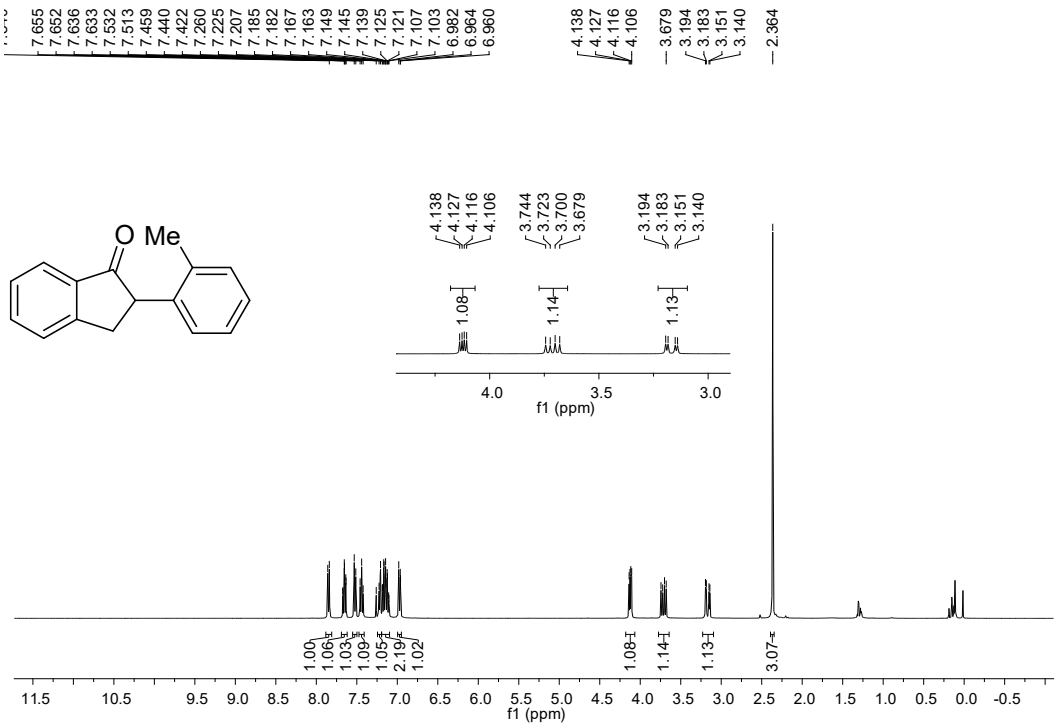
^{1}H NMR spectra of compound **11**



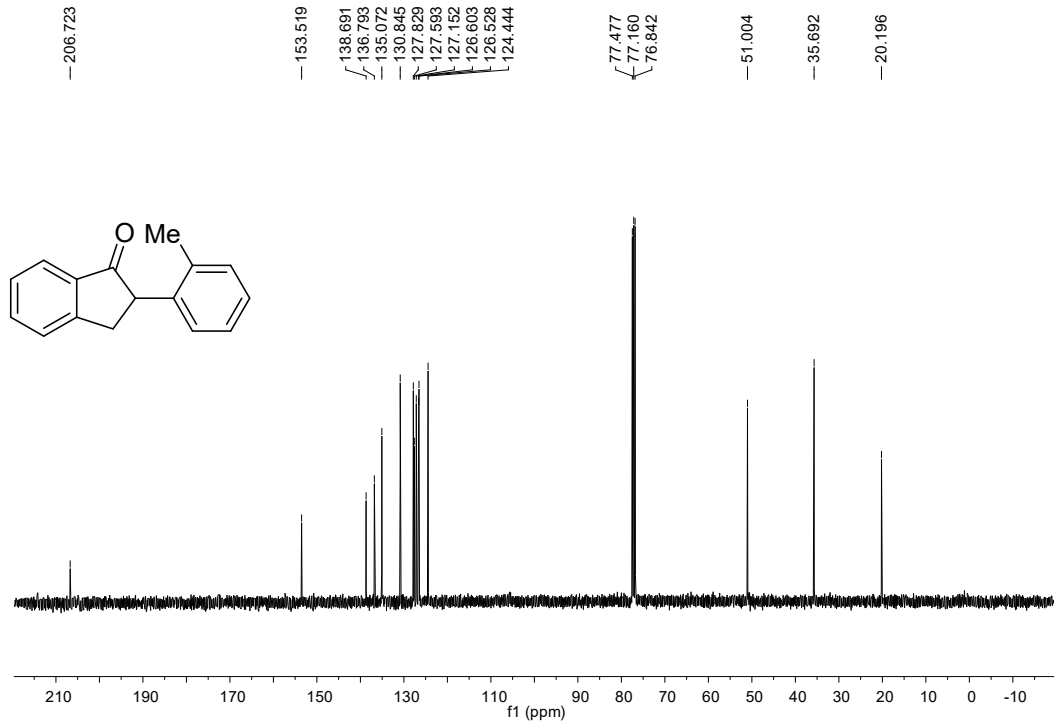
^{13}C NMR spectra of compound **11**



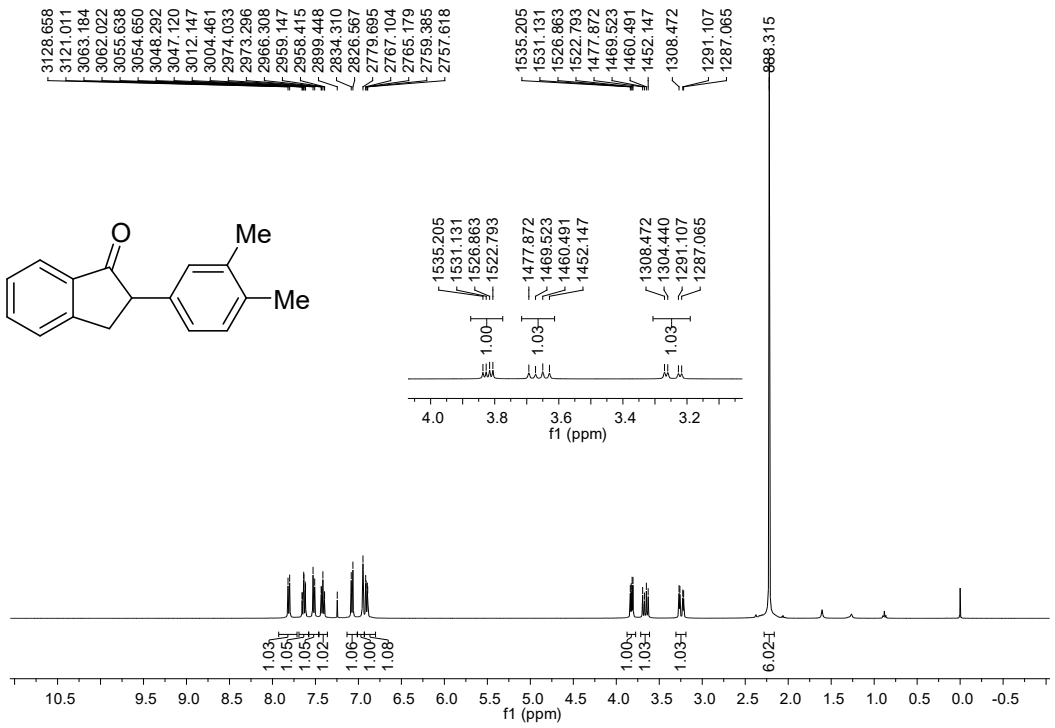
^{1}H NMR spectra of compound **12**



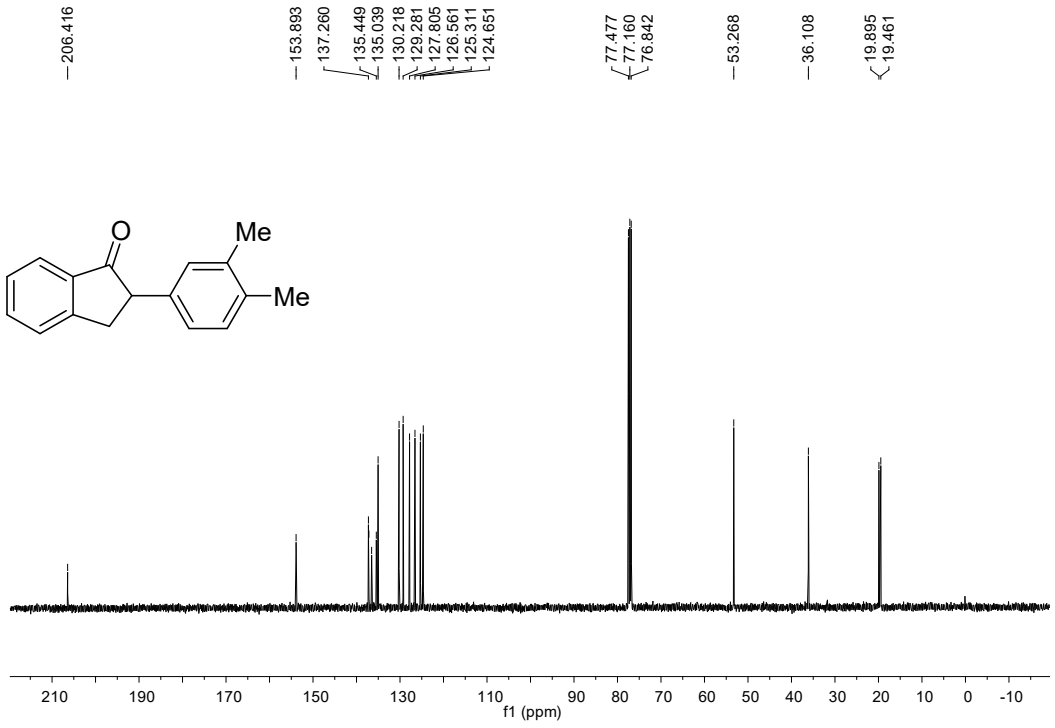
^{13}C NMR spectra of compound **12**



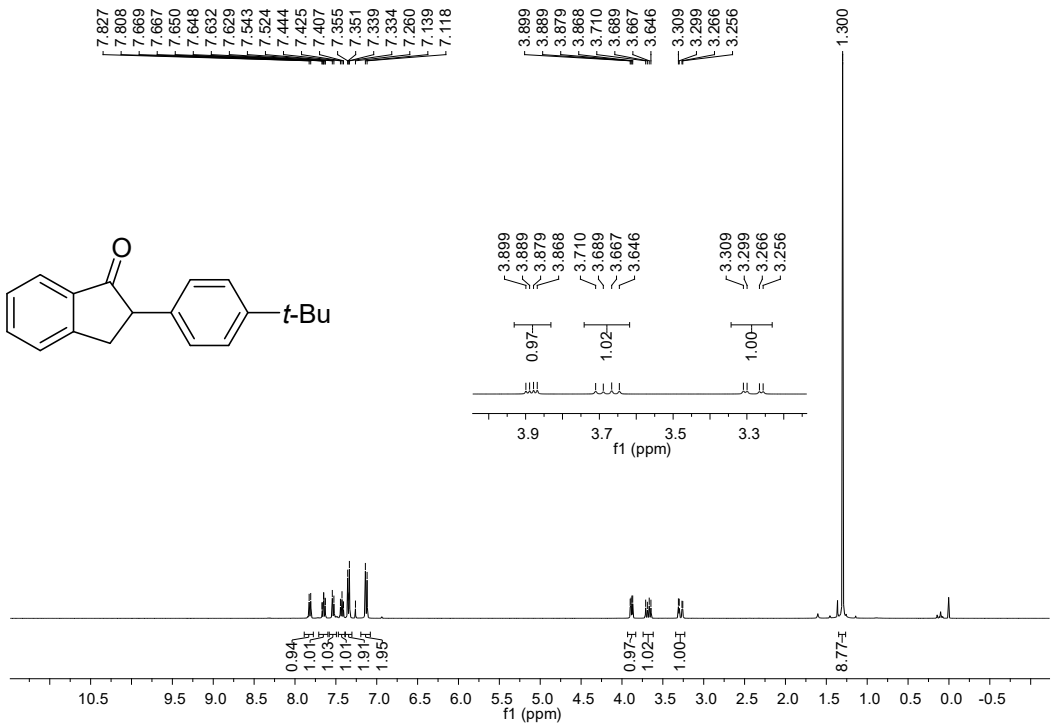
^{1}H NMR spectra of compound **13**



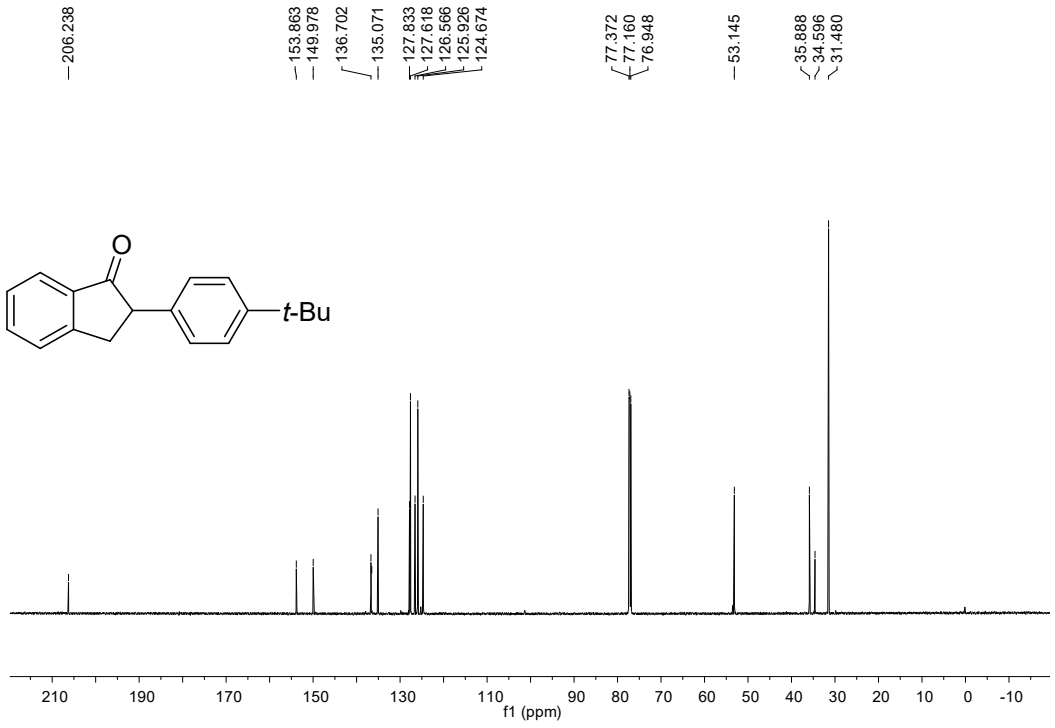
^{13}C NMR spectra of compound **13**



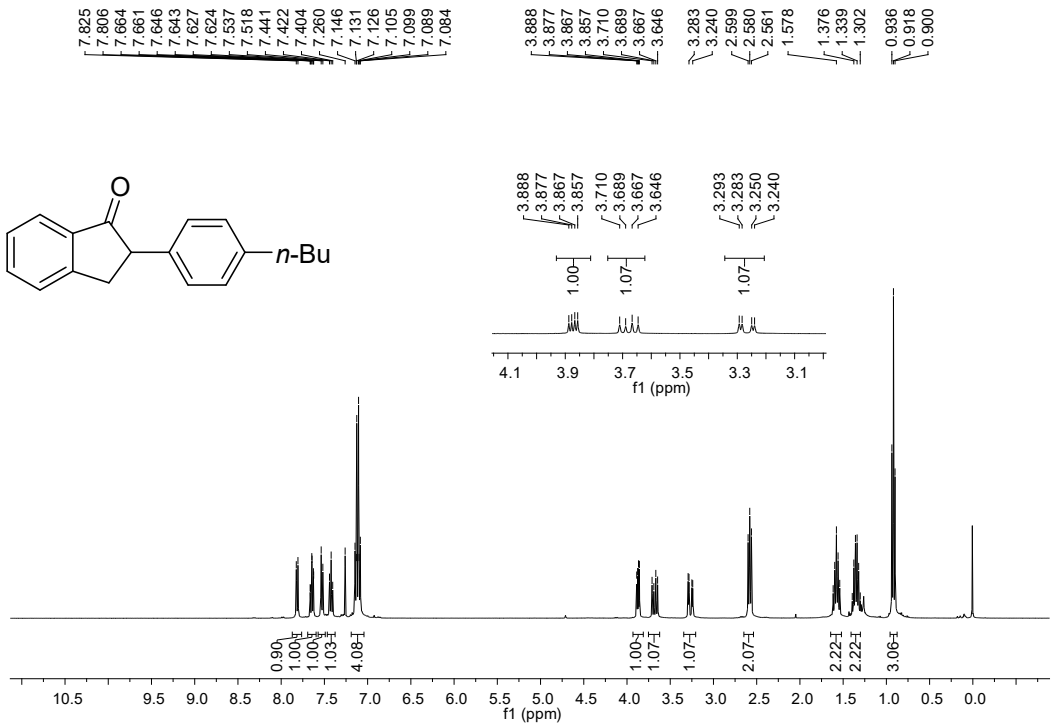
^{1}H NMR spectra of compound **14**



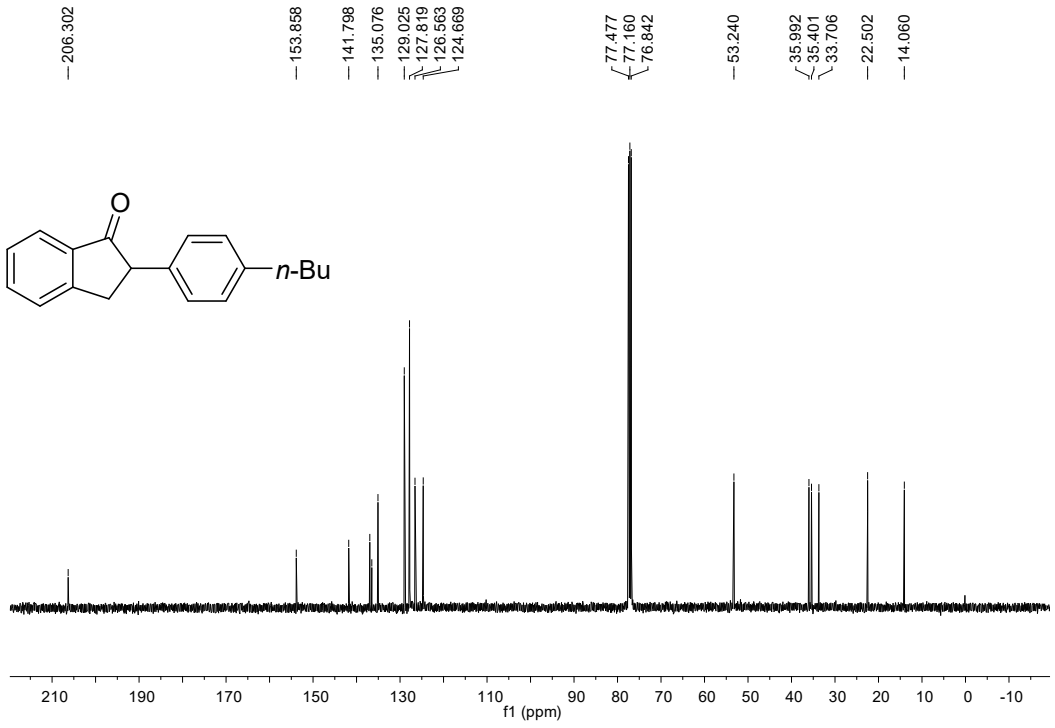
^{13}C NMR spectra of compound **14**



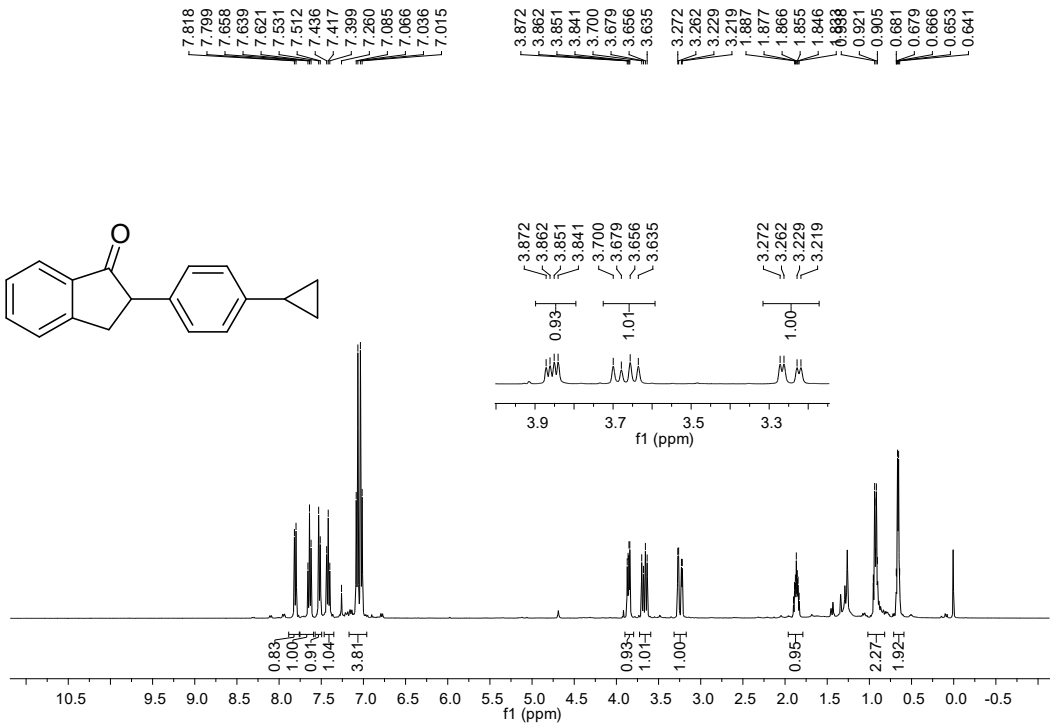
^{1}H NMR spectra of compound **15**



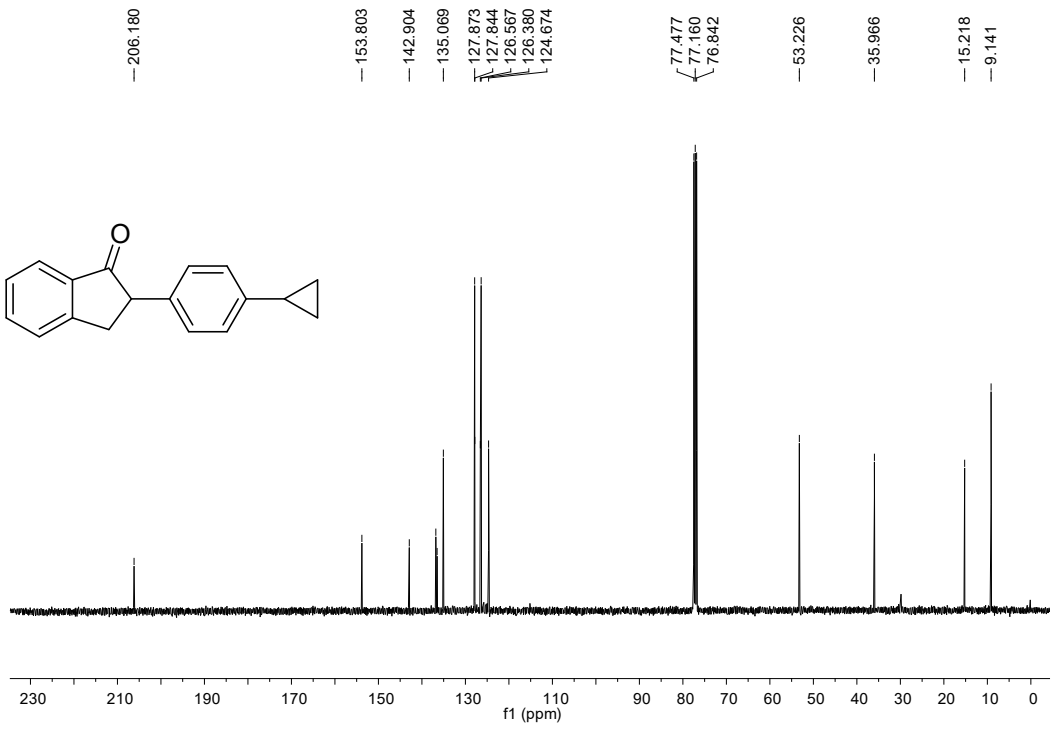
^{13}C NMR spectra of compound **15**



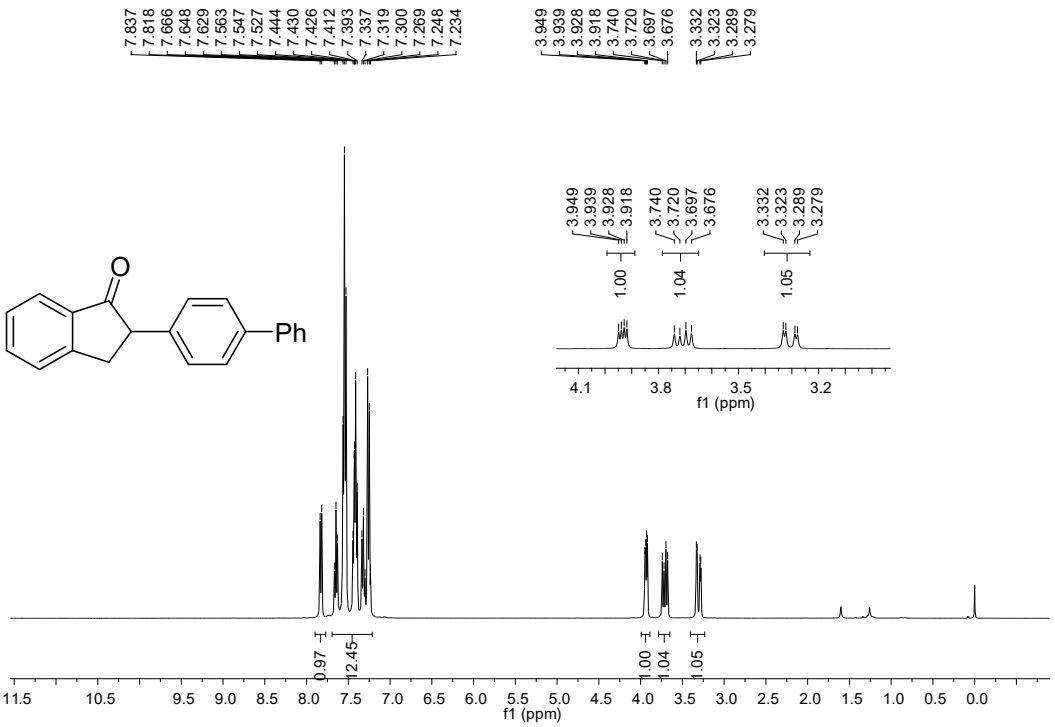
^{1}H NMR spectra of compound **16**



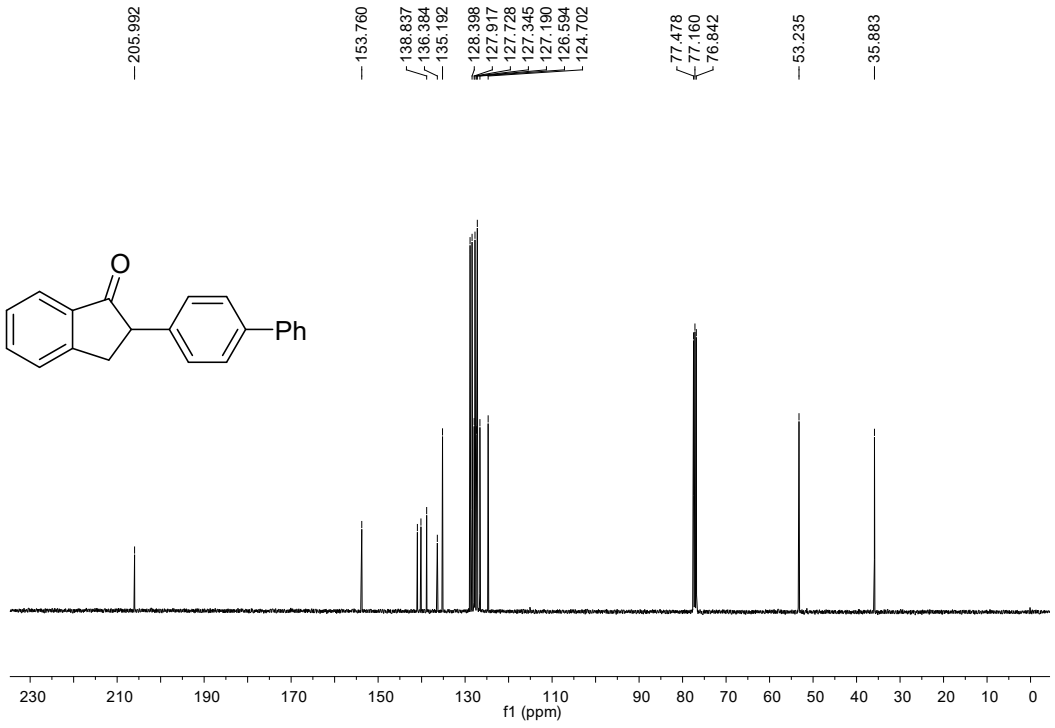
^{13}C NMR spectra of compound **16**



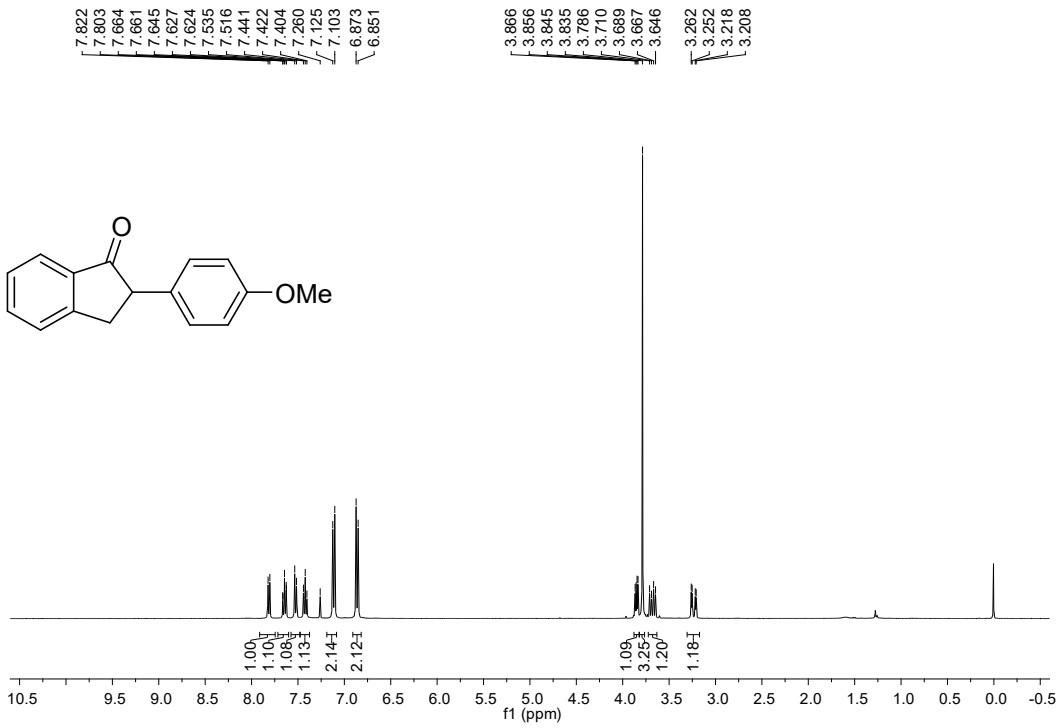
^{1}H NMR spectra of compound **17**



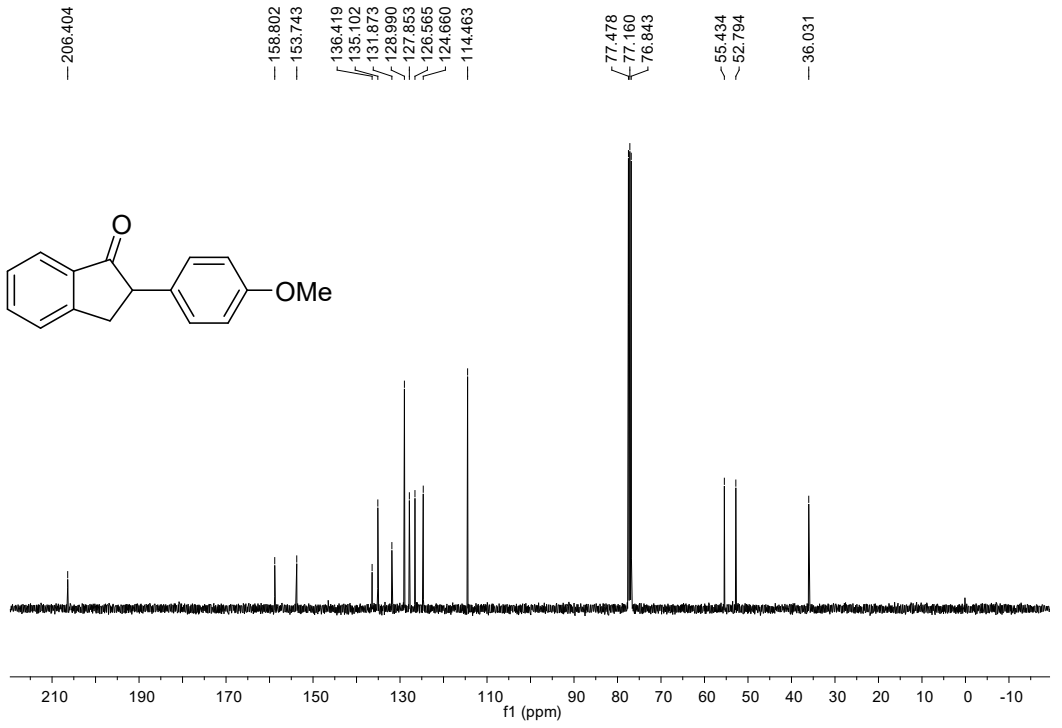
^{13}C NMR spectra of compound **17**



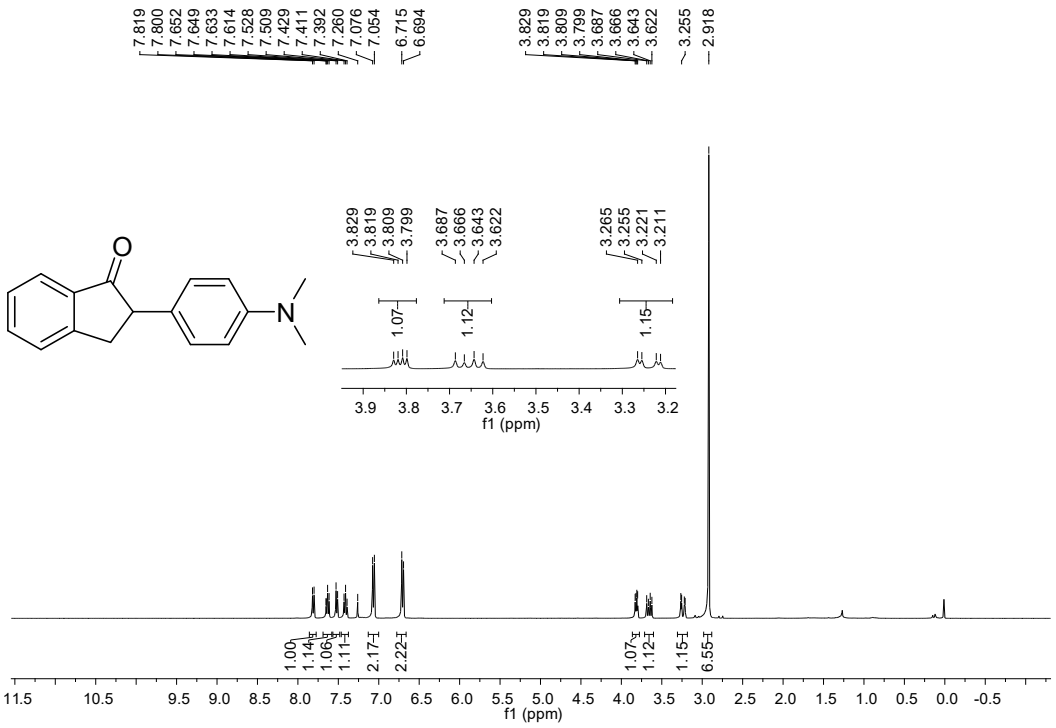
^{1}H NMR spectra of compound **18**



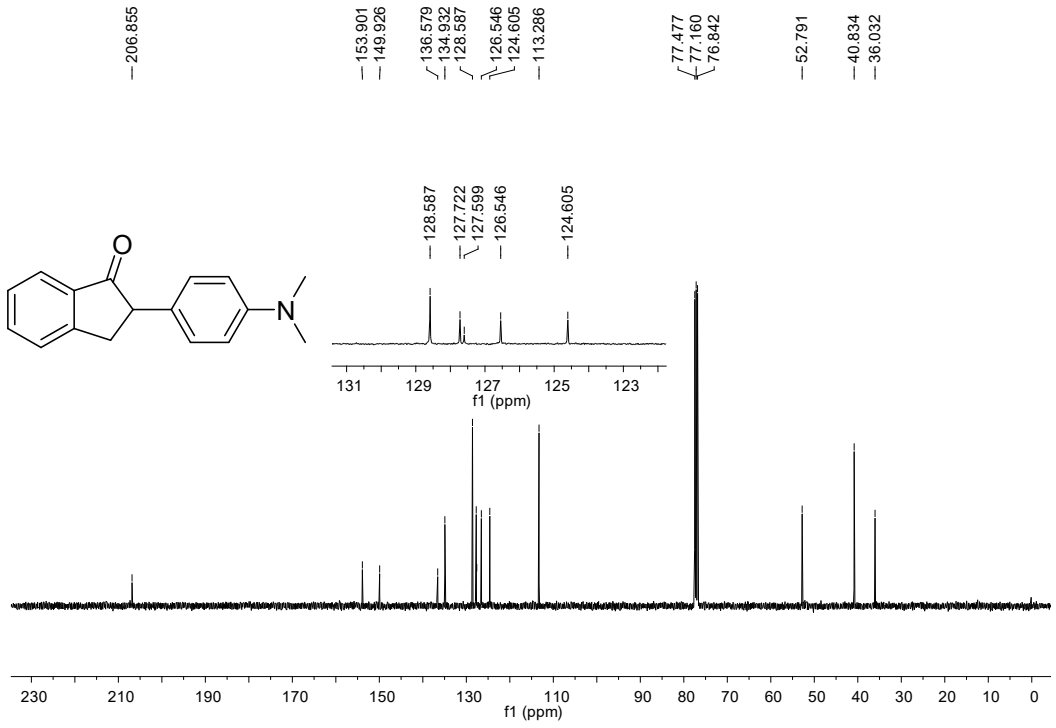
^{13}C NMR spectra of compound **18**



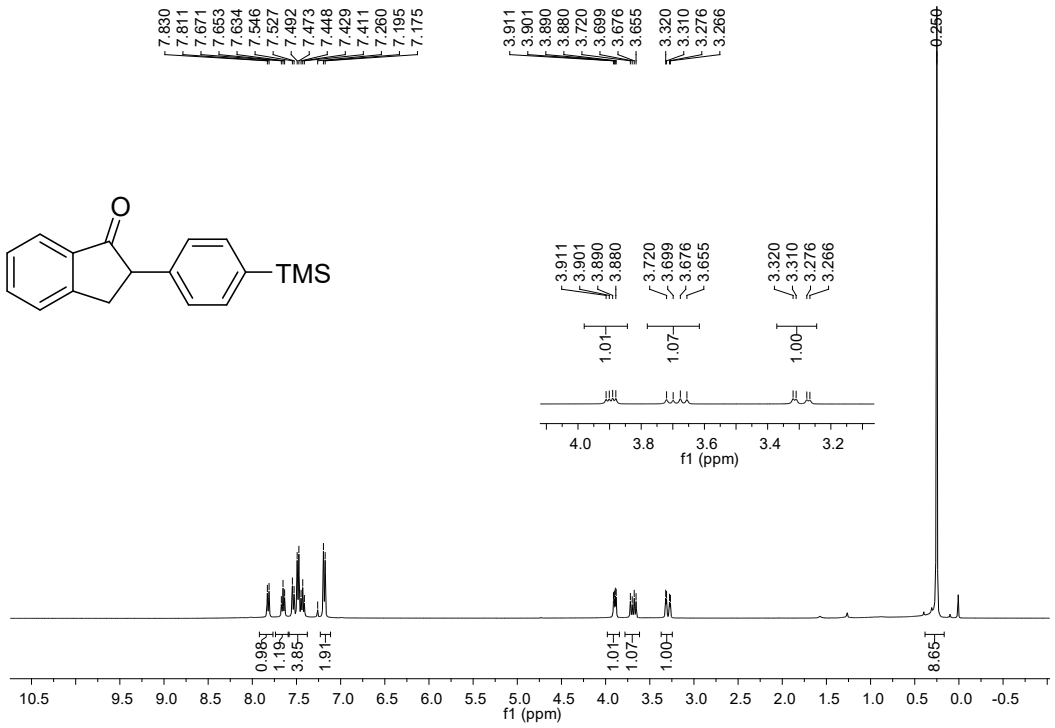
^{1}H NMR spectra of compound **19**



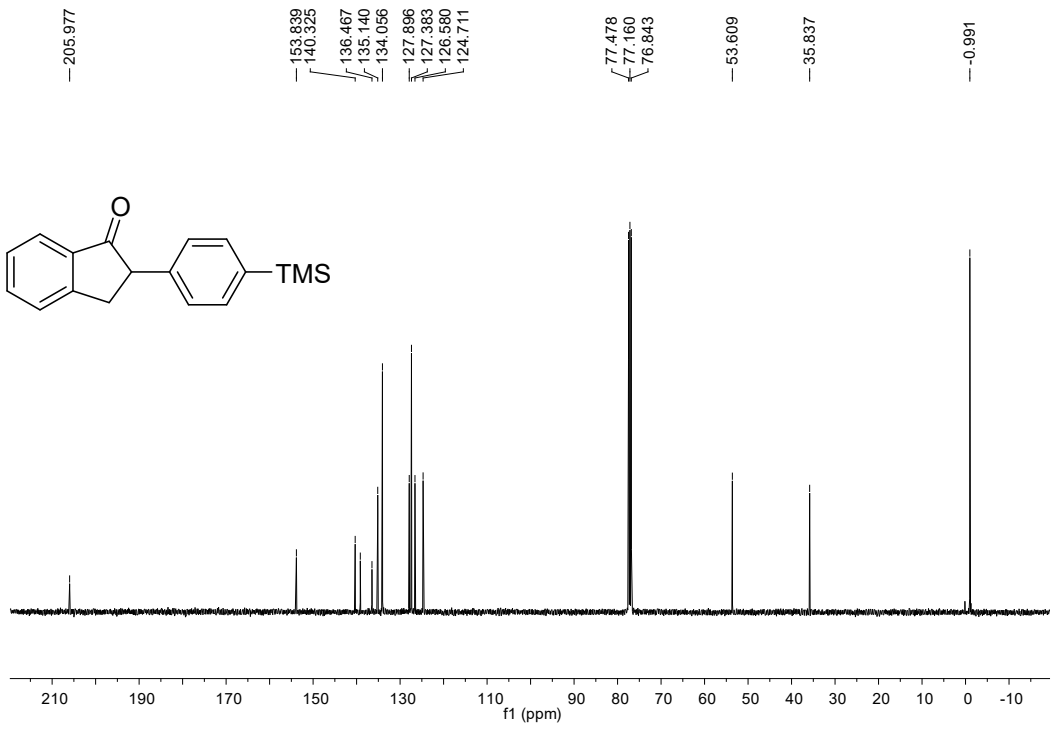
^{13}C NMR spectra of compound **19**



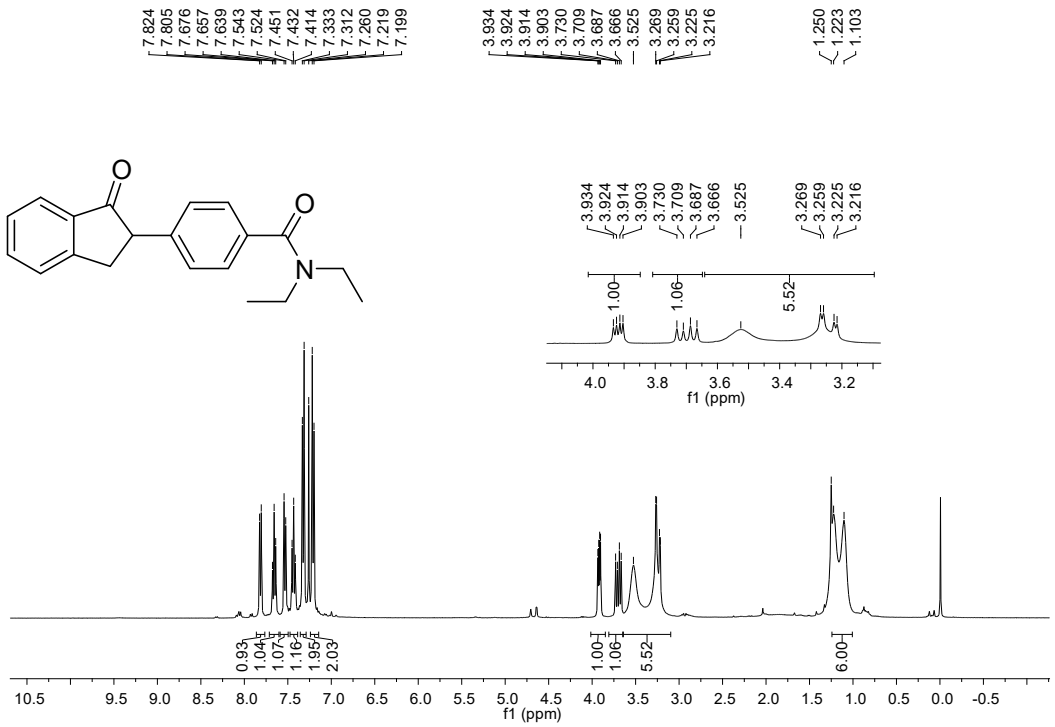
^{1}H NMR spectra of compound **20**



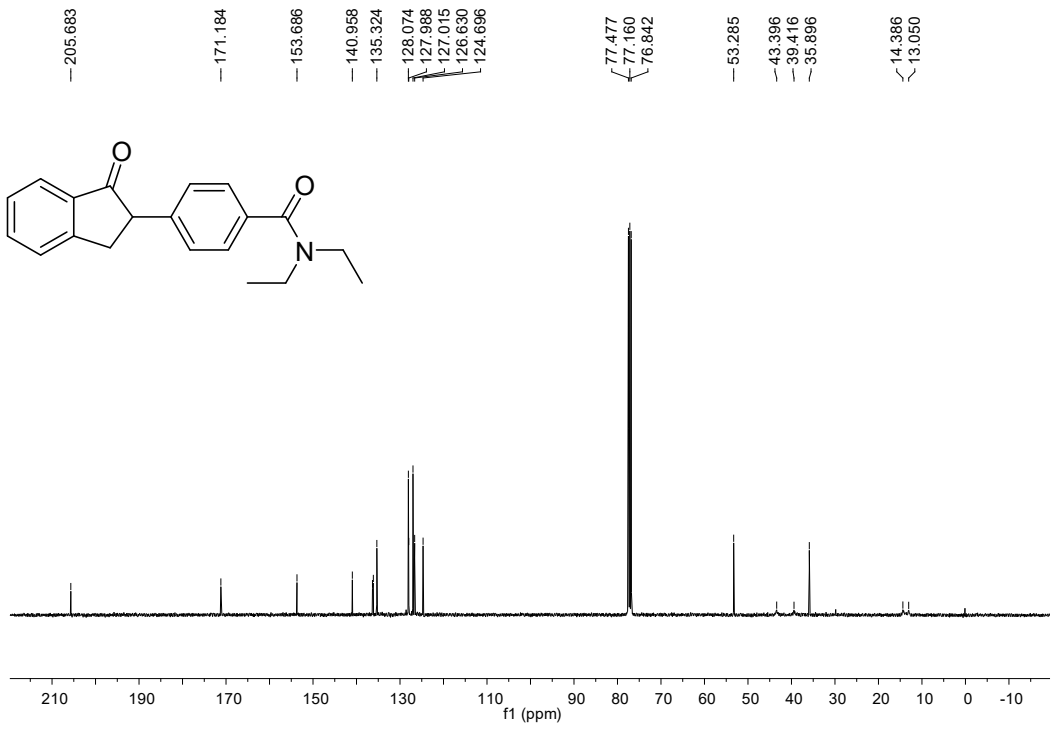
^{13}C NMR spectra of compound **20**



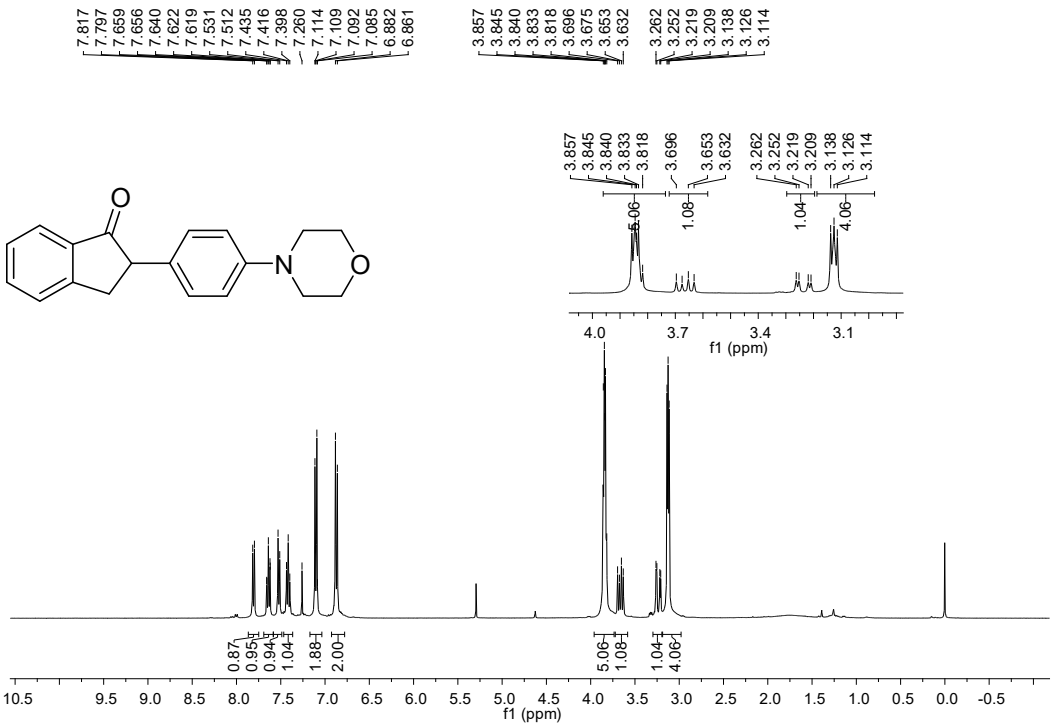
^{1}H NMR spectra of compound **21**



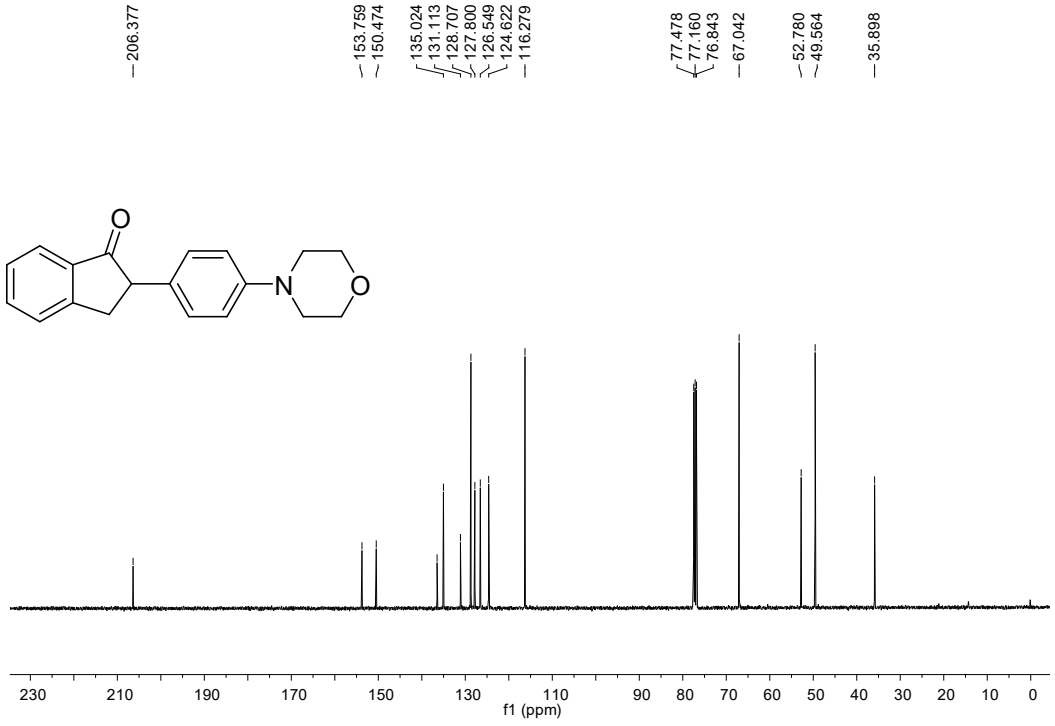
^{13}C NMR spectra of compound **21**



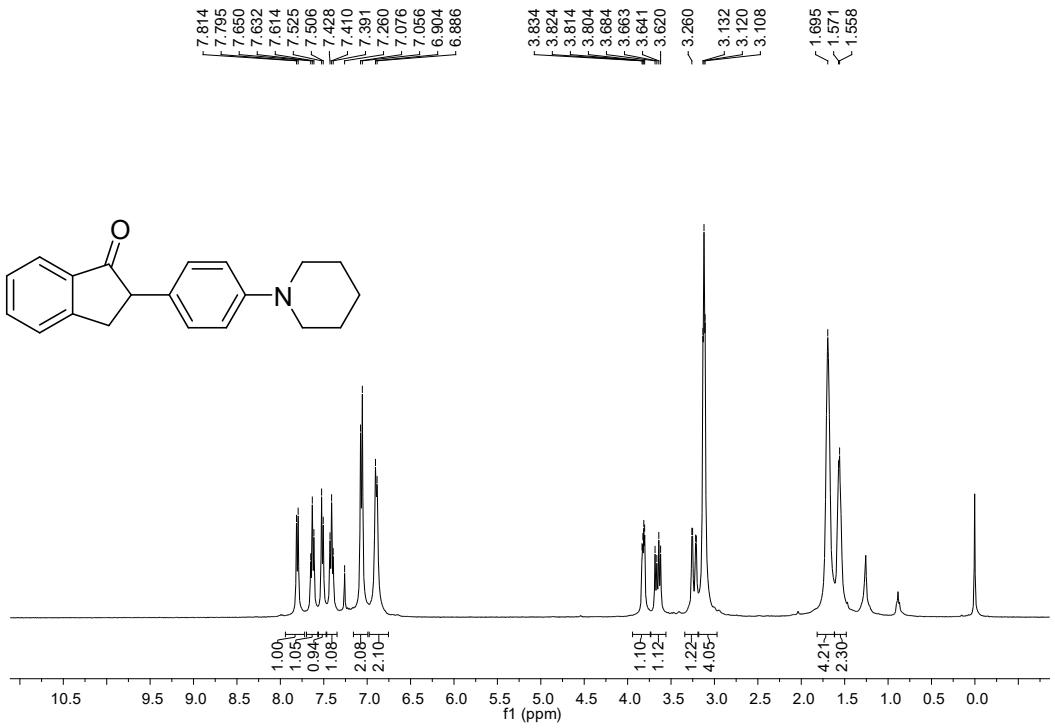
^{1}H NMR spectra of compound **22**



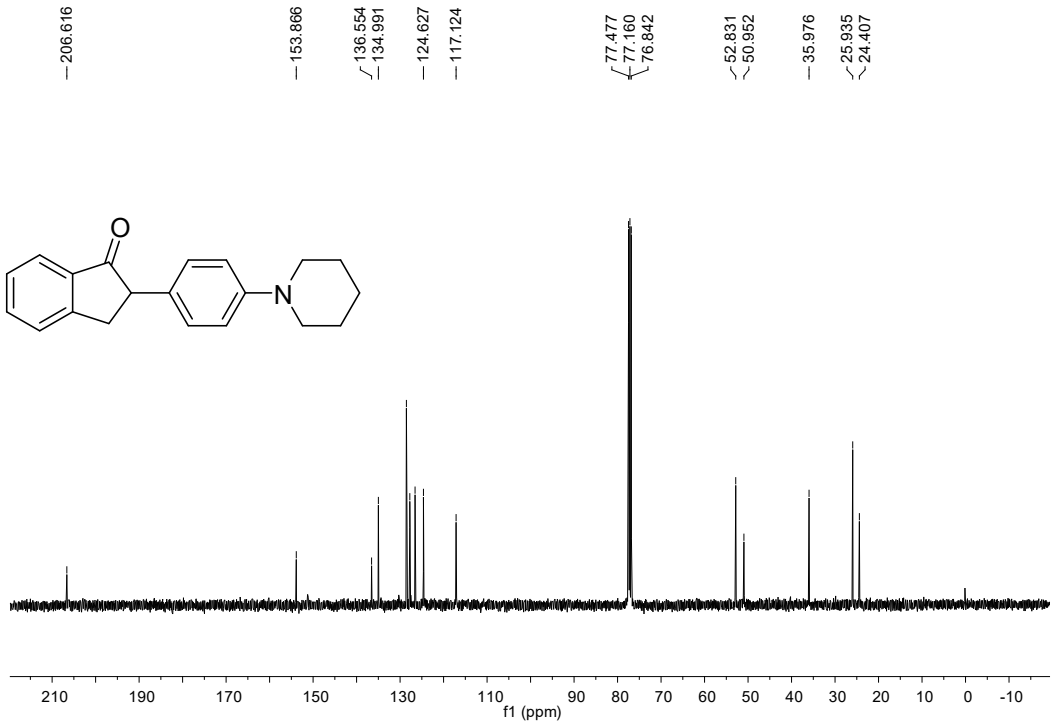
^{13}C NMR spectra of compound **22**



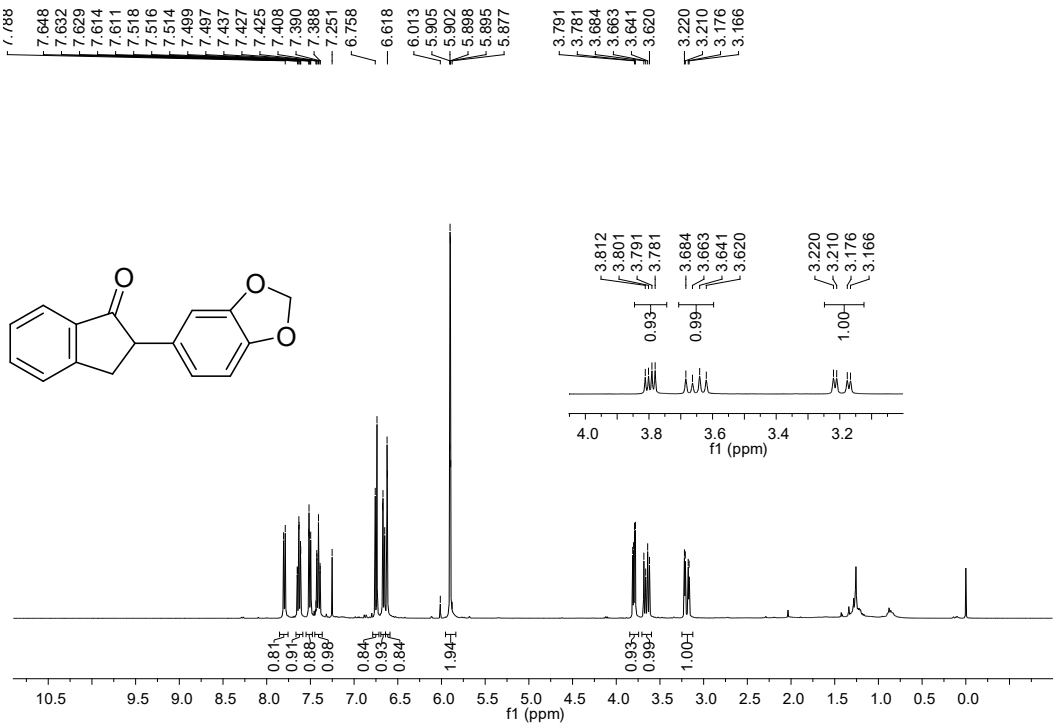
^{1}H NMR spectra of compound **23**



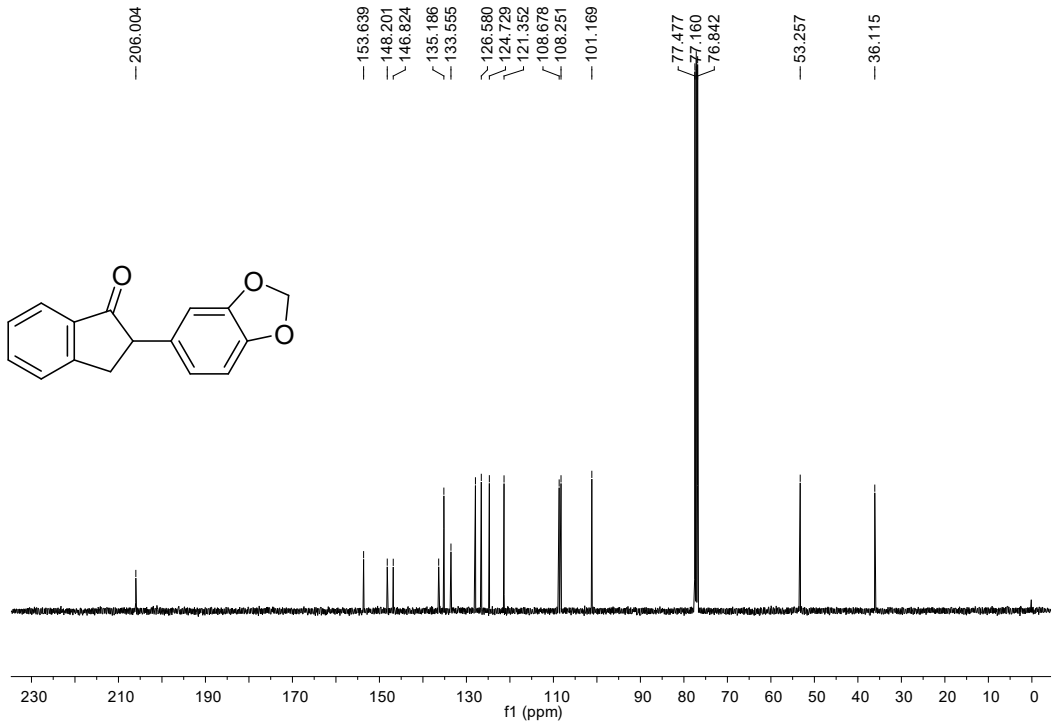
^{13}C NMR spectra of compound **23**



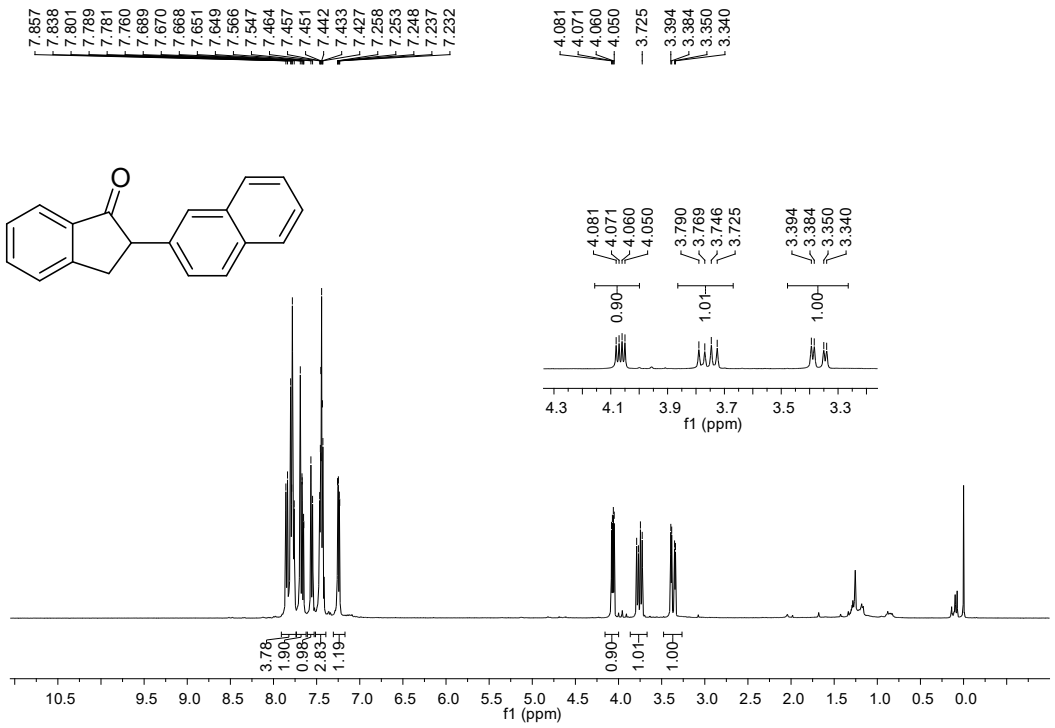
^{1}H NMR spectra of compound **24**



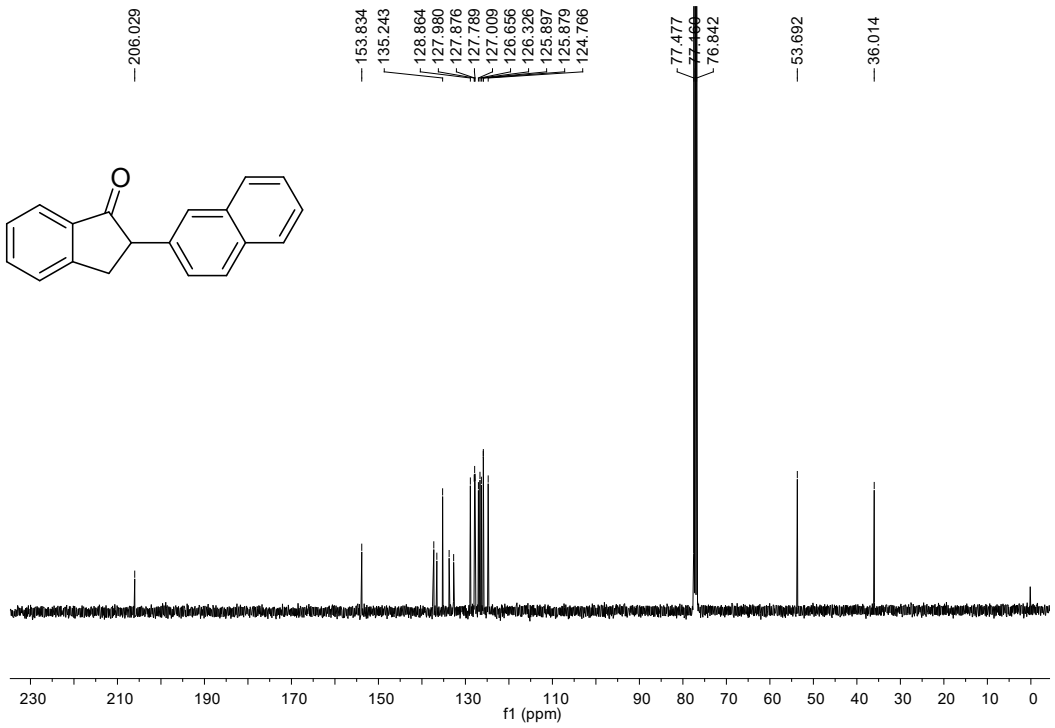
^{13}C NMR spectra of compound **24**



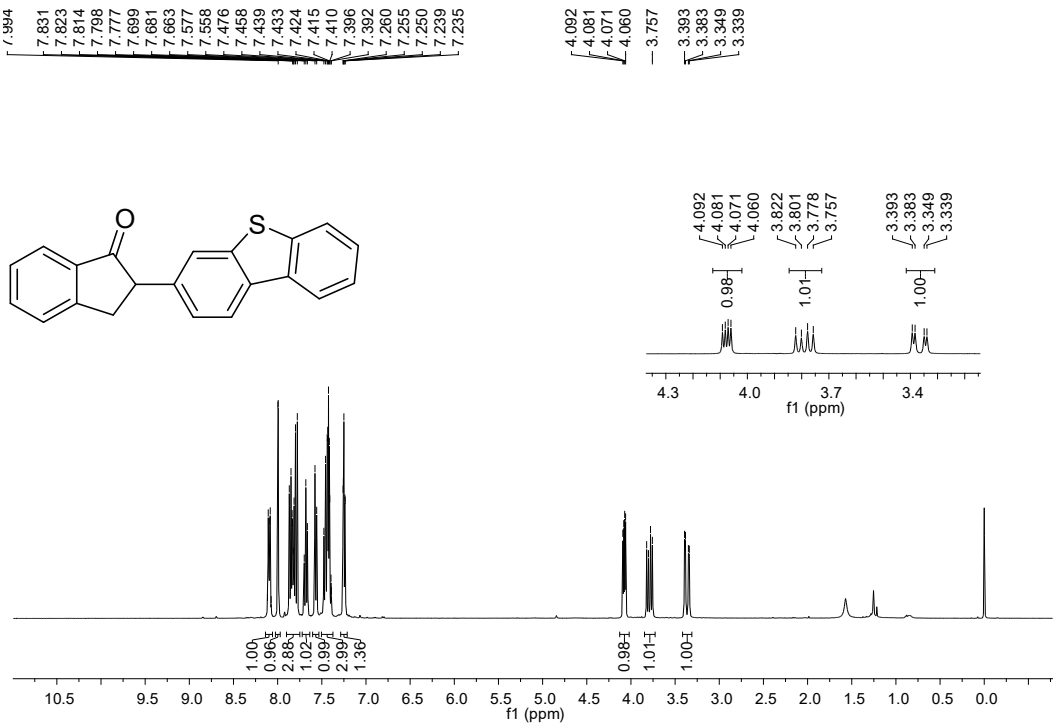
^{1}H NMR spectra of compound **25**



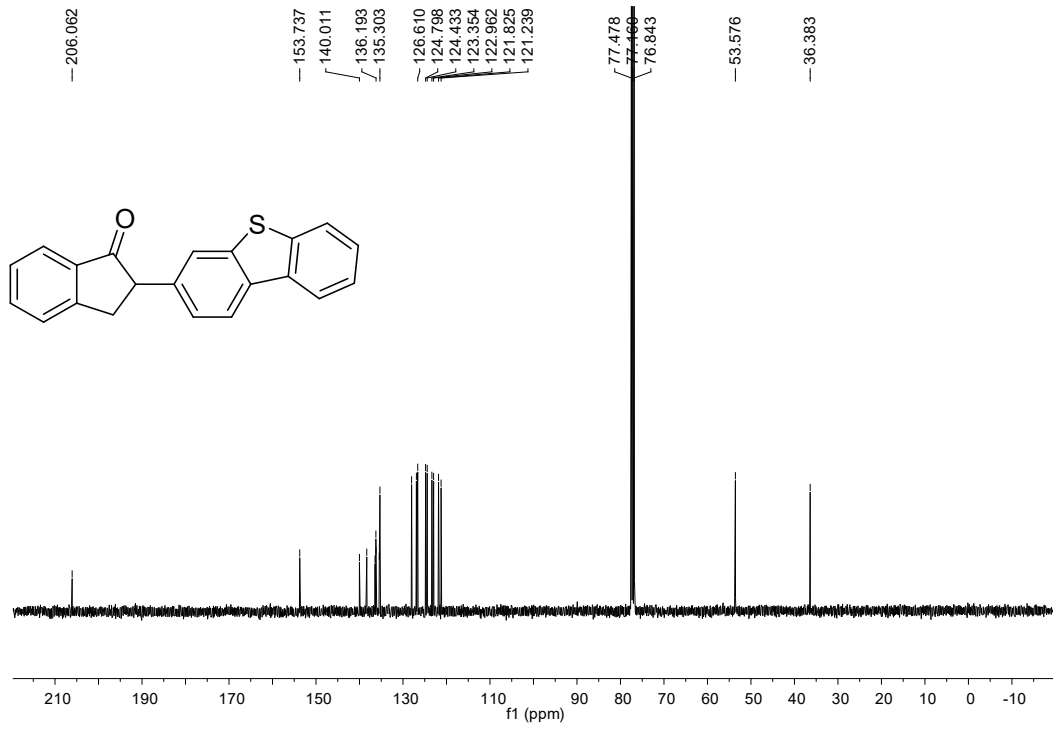
^{13}C NMR spectra of compound **25**



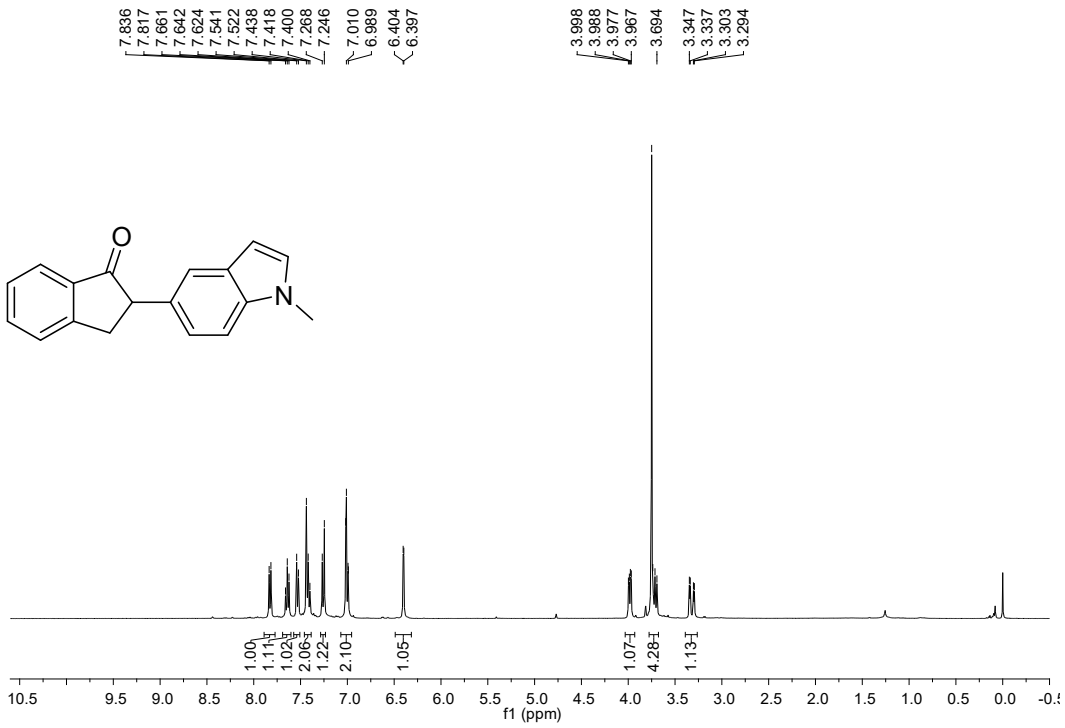
^{1}H NMR spectra of compound **26**



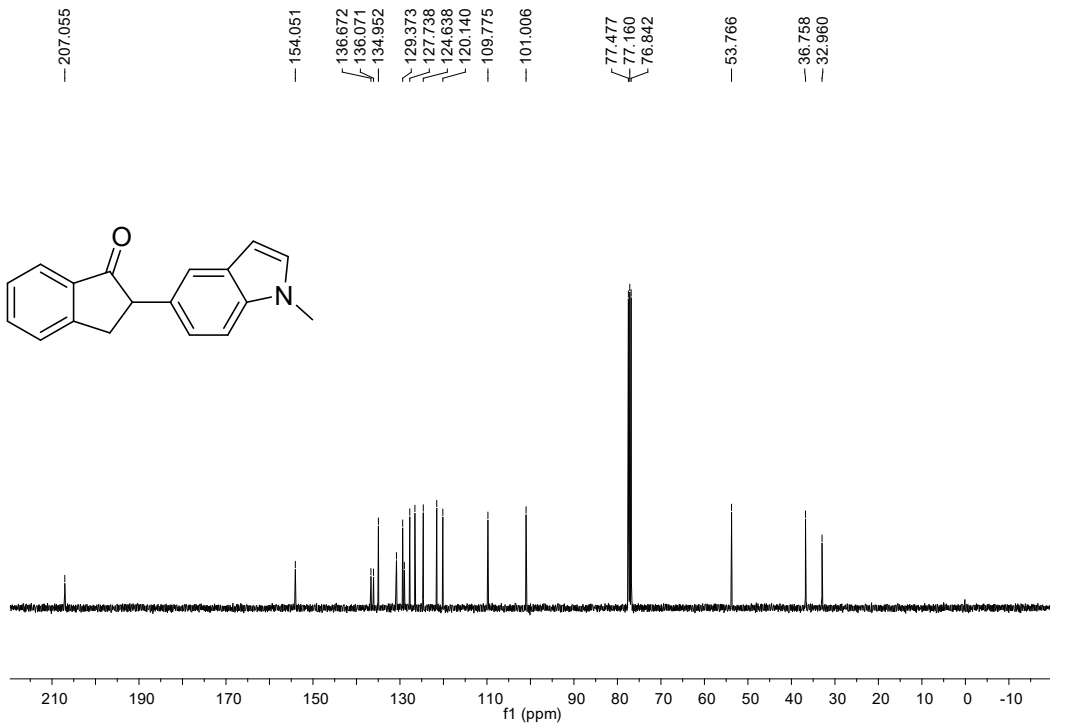
^{13}C NMR spectra of compound **26**



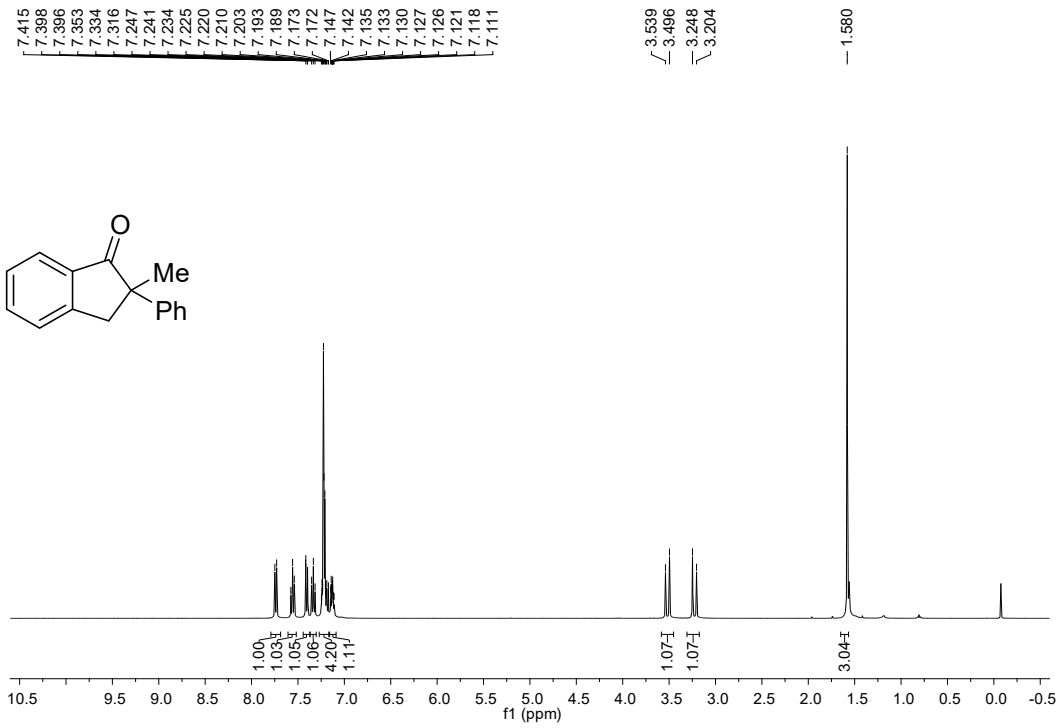
^{1}H NMR spectra of compound **27**



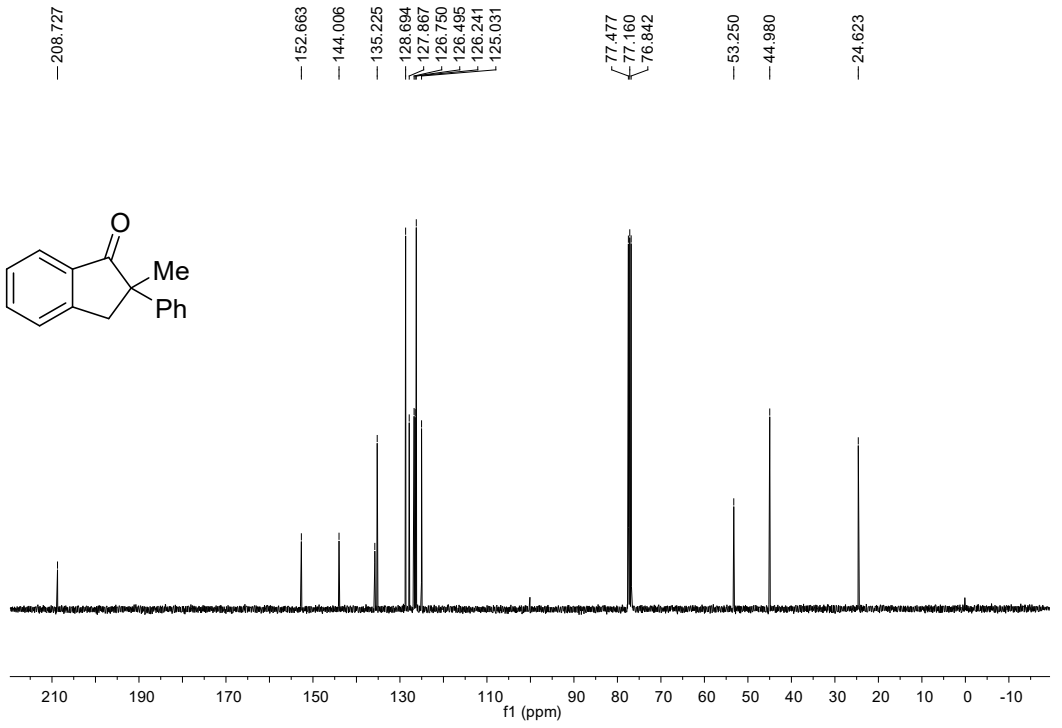
^{13}C NMR spectra of compound **27**



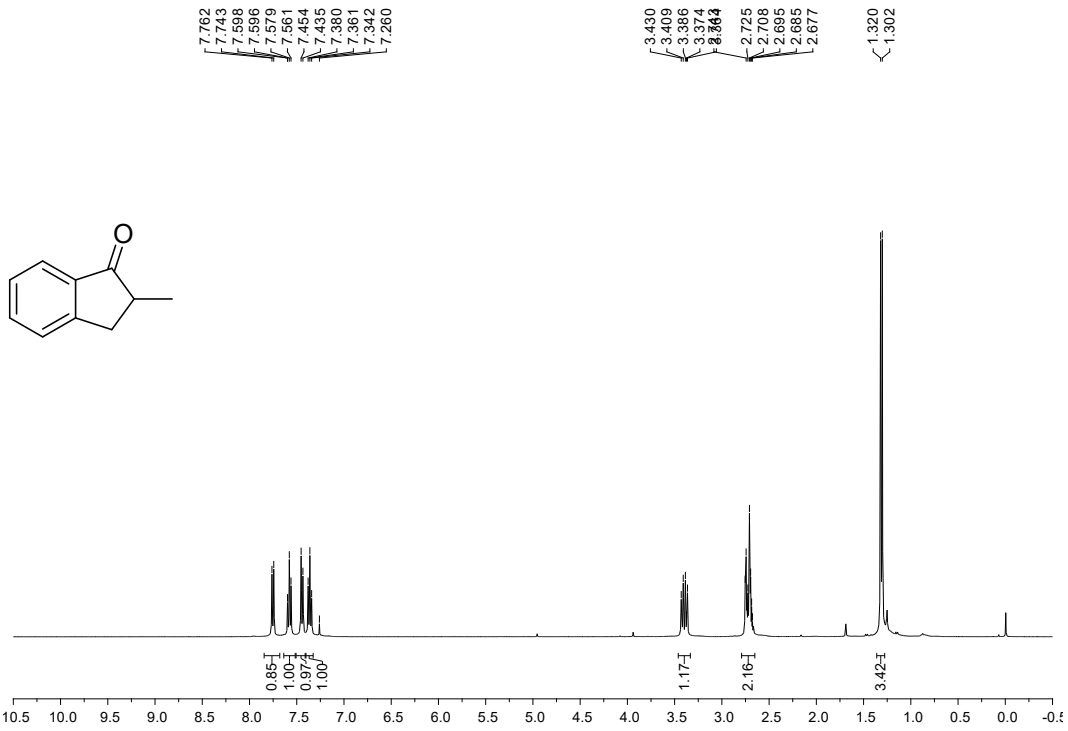
^{1}H NMR spectra of compound **28**



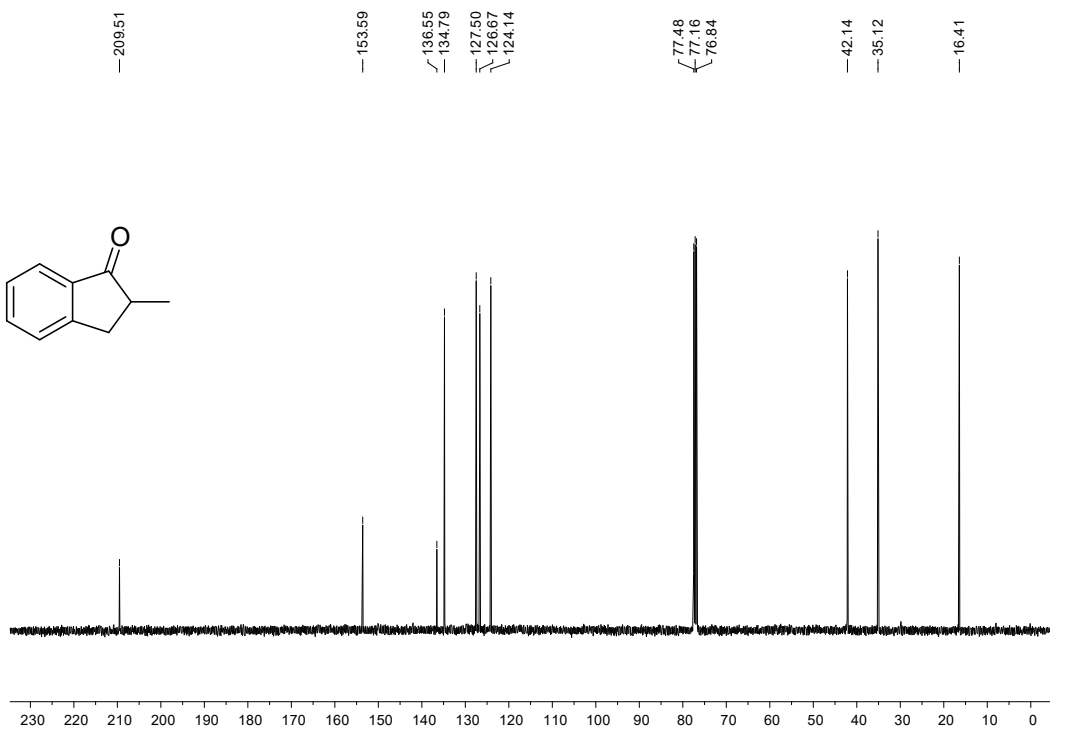
^{13}C NMR spectra of compound **28**



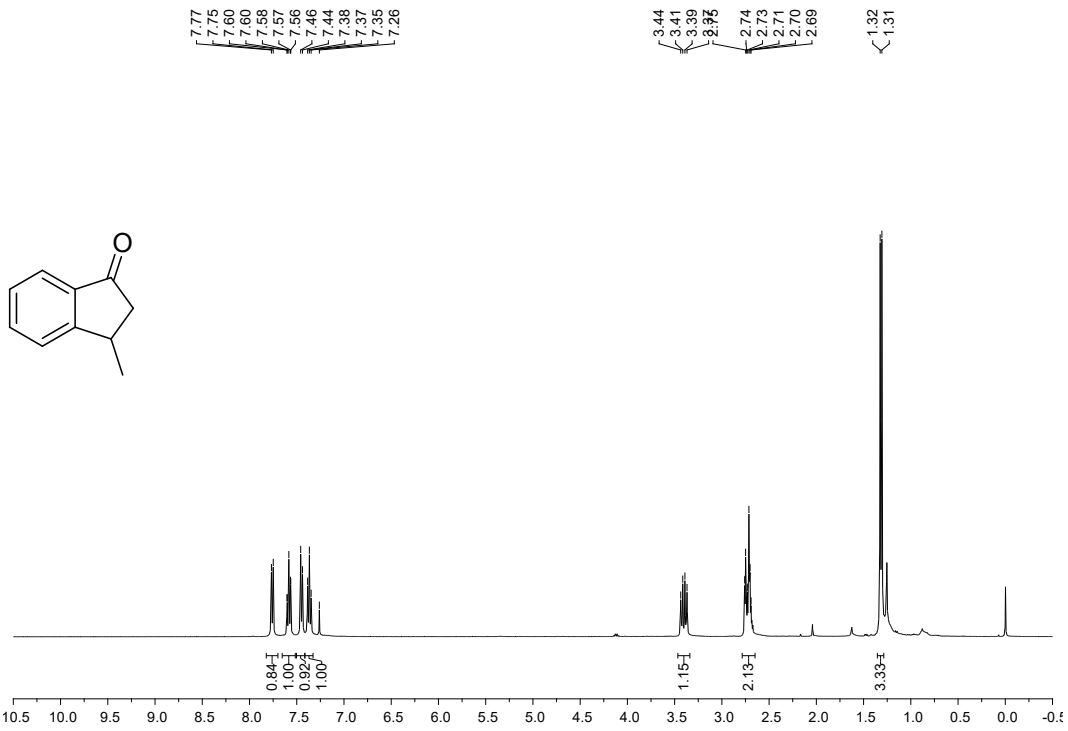
^{1}H NMR spectra of compound **29**



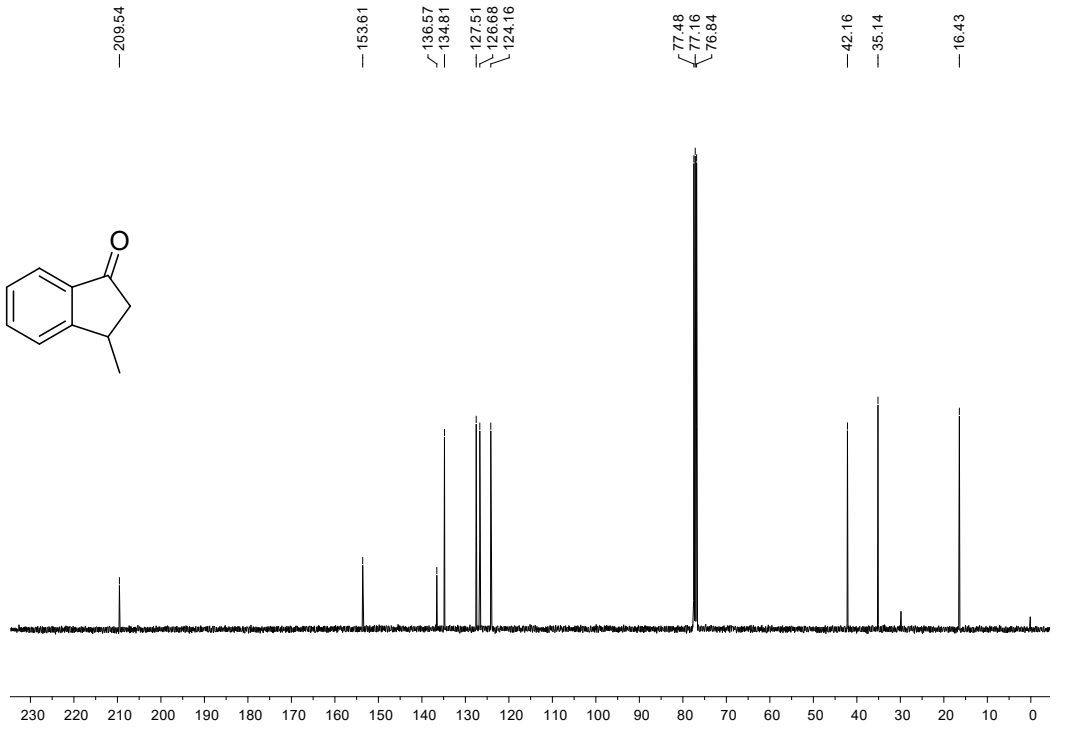
^{13}C NMR spectra of compound **29**



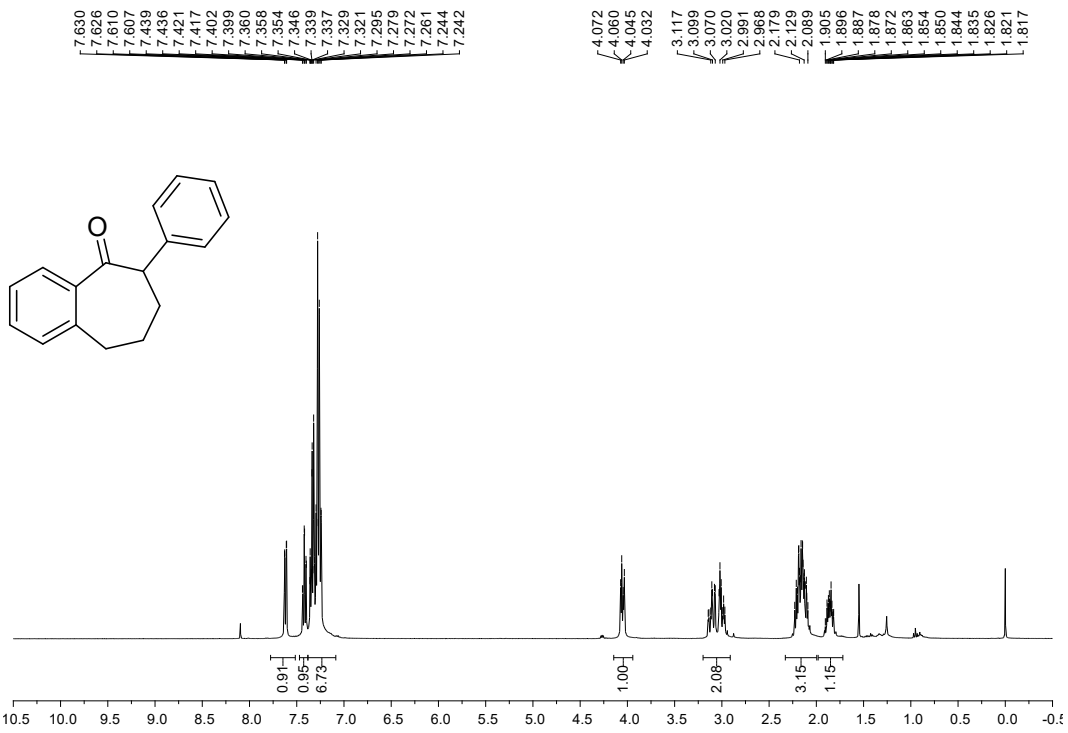
^{1}H NMR spectra of compound **30**



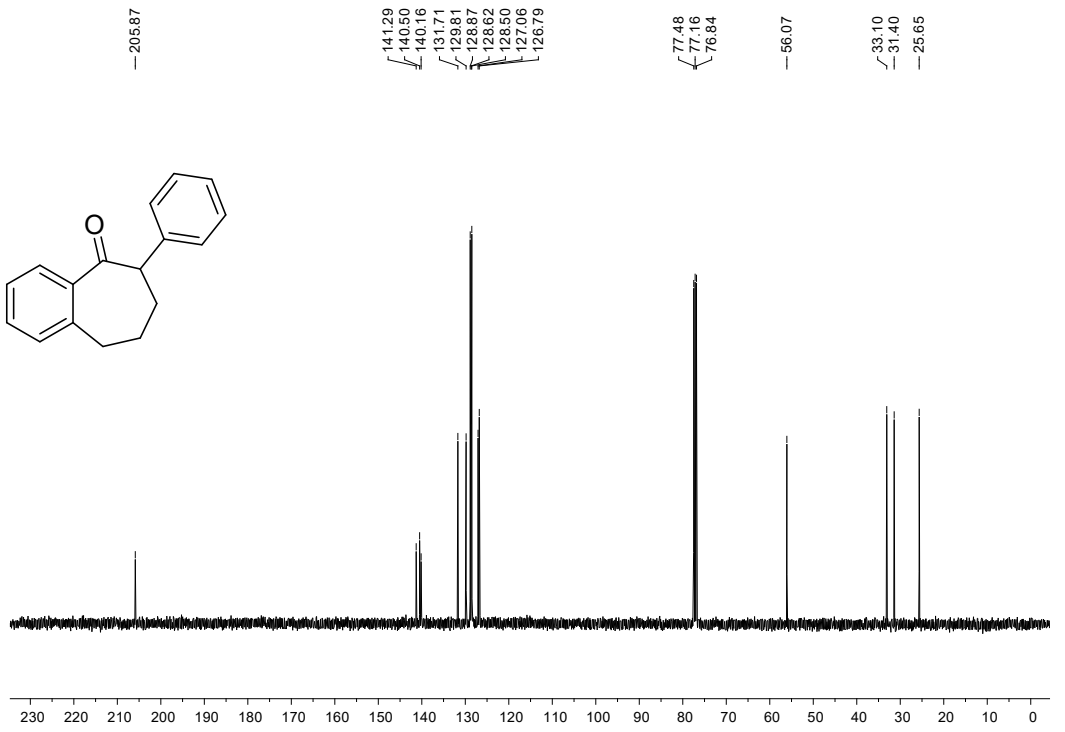
^{13}C NMR spectra of compound **30**



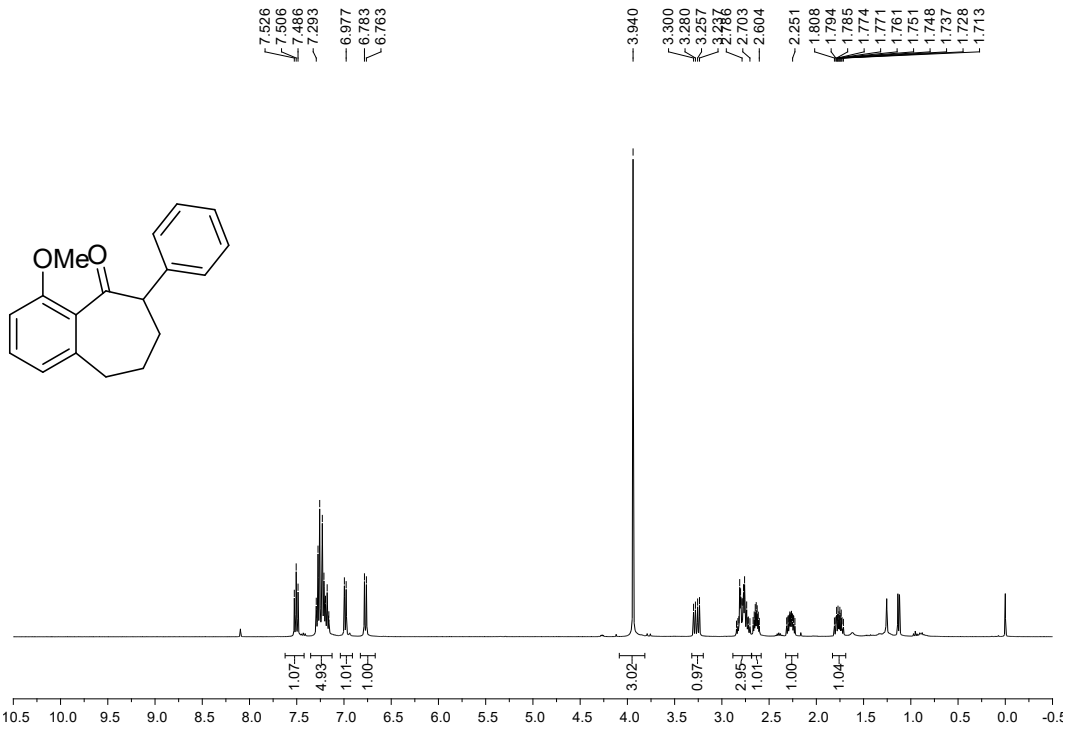
^{1}H NMR spectra of compound **31**



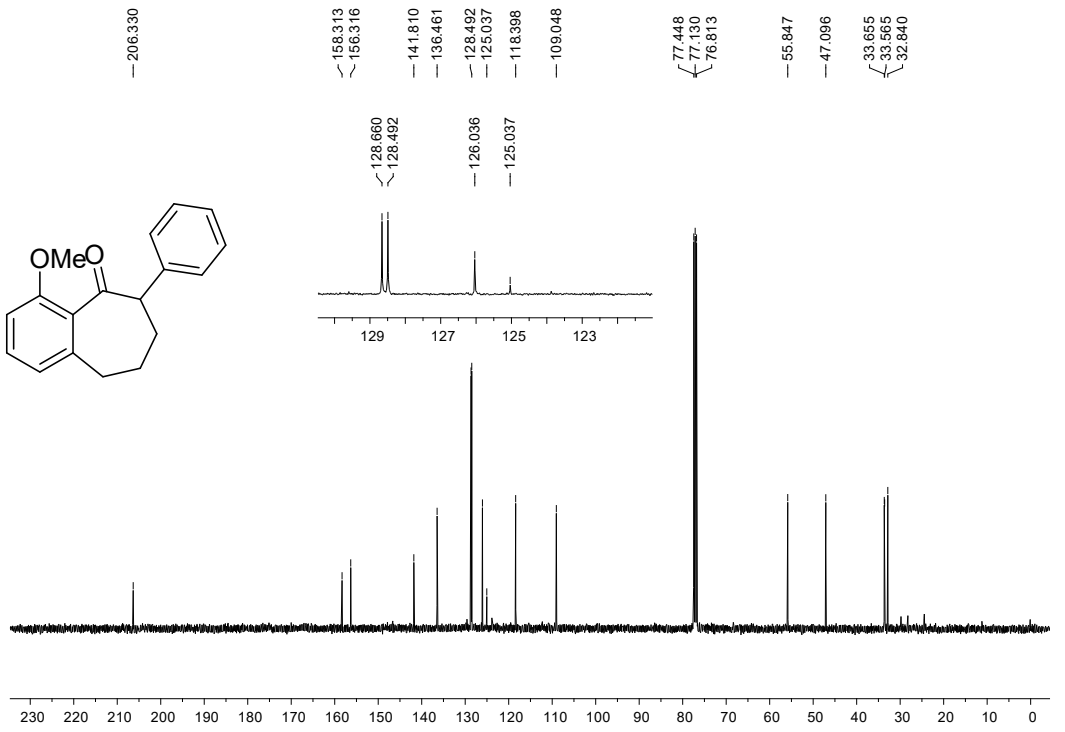
^{13}C NMR spectra of compound **31**



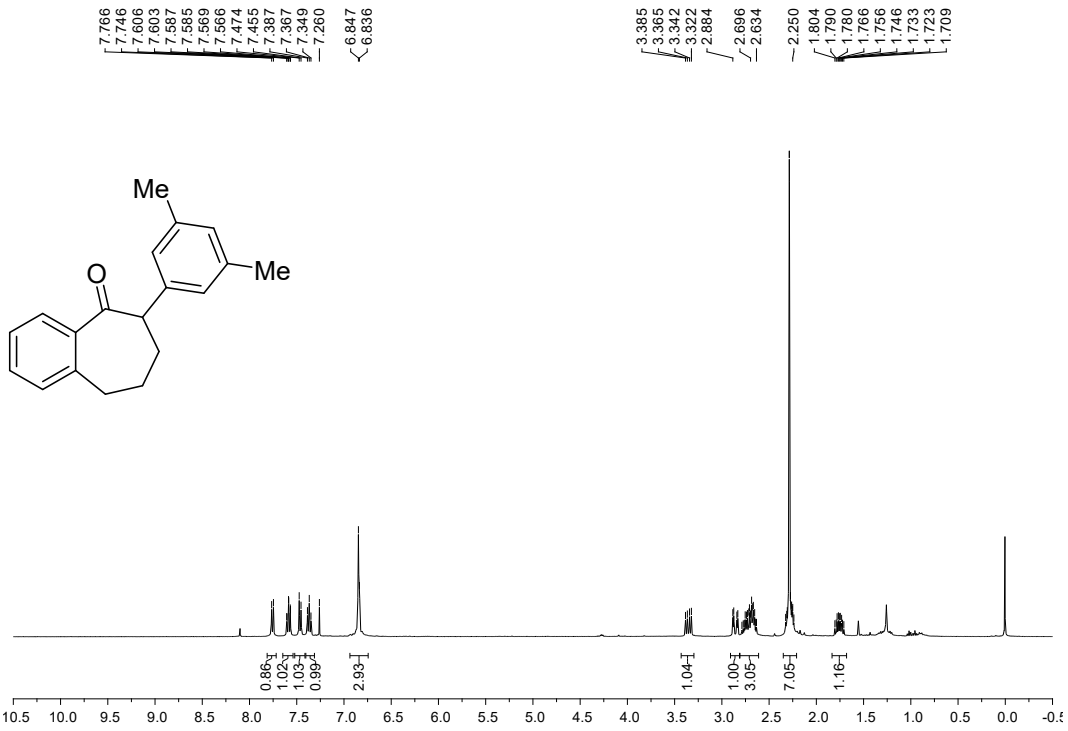
^{1}H NMR spectra of compound **32**



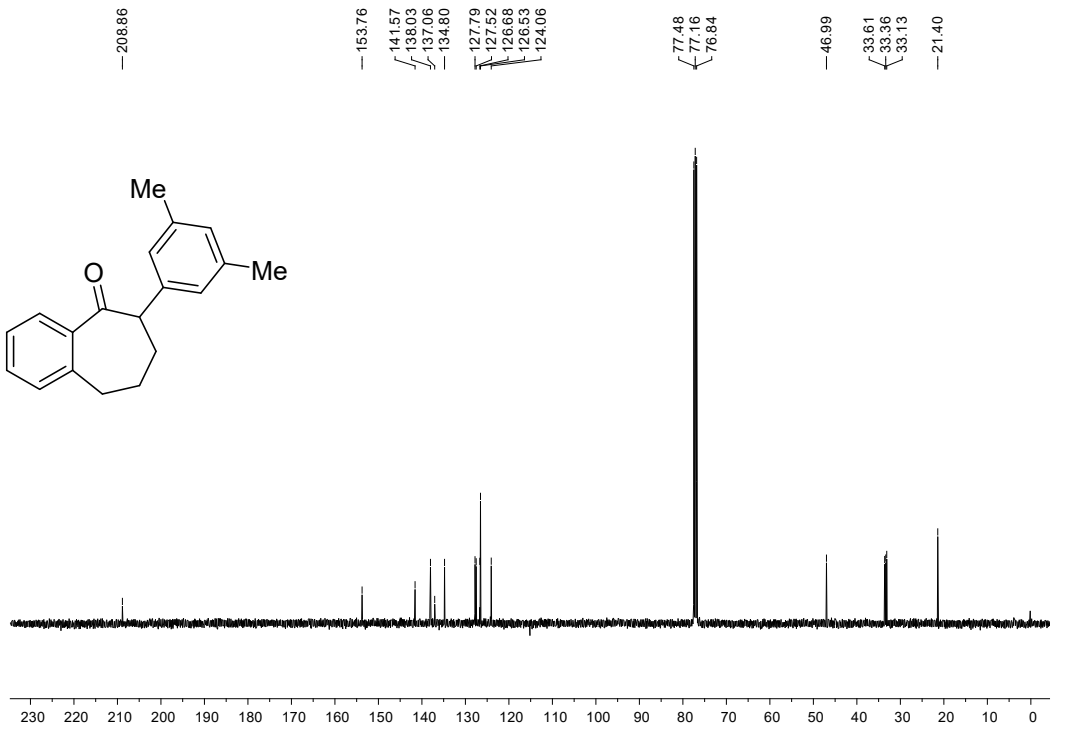
^{13}C NMR spectra of compound **32**



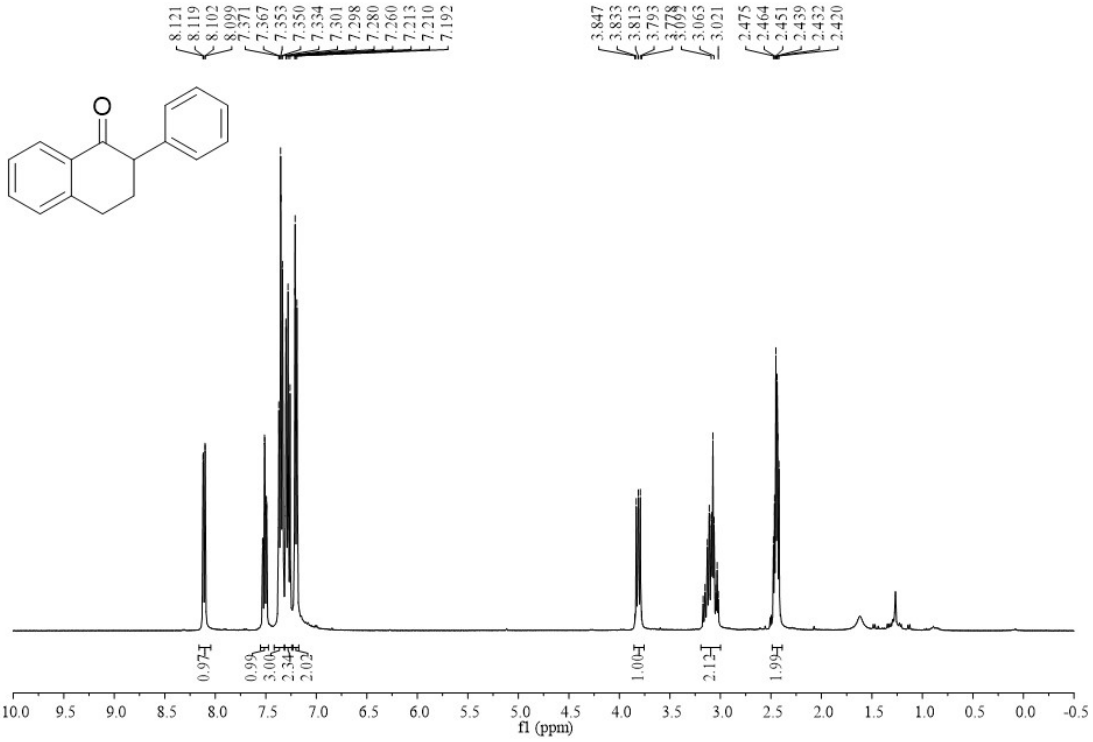
^{1}H NMR spectra of compound **33**



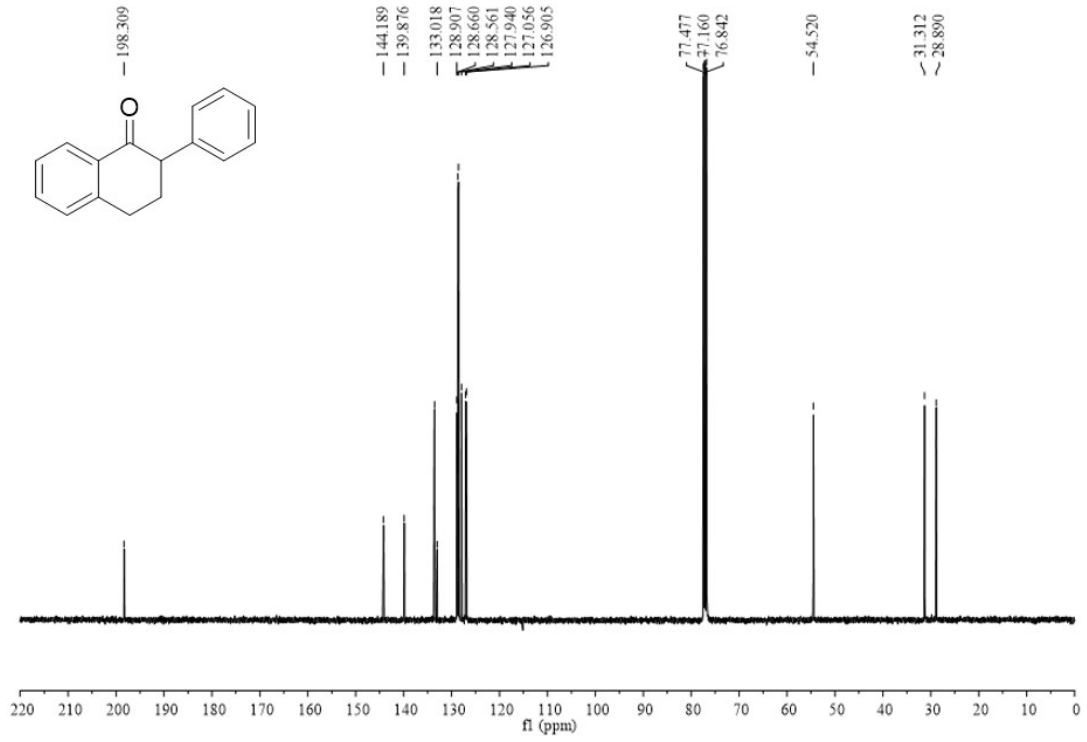
^{13}C NMR spectra of compound **33**



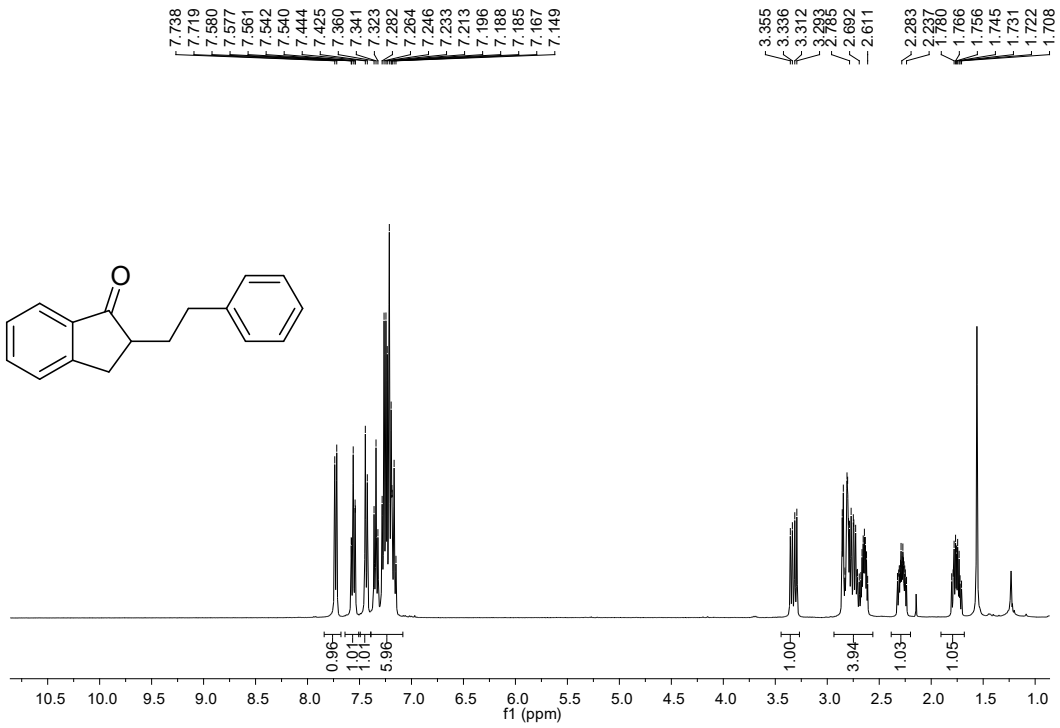
^{1}H NMR spectra of compound **34**



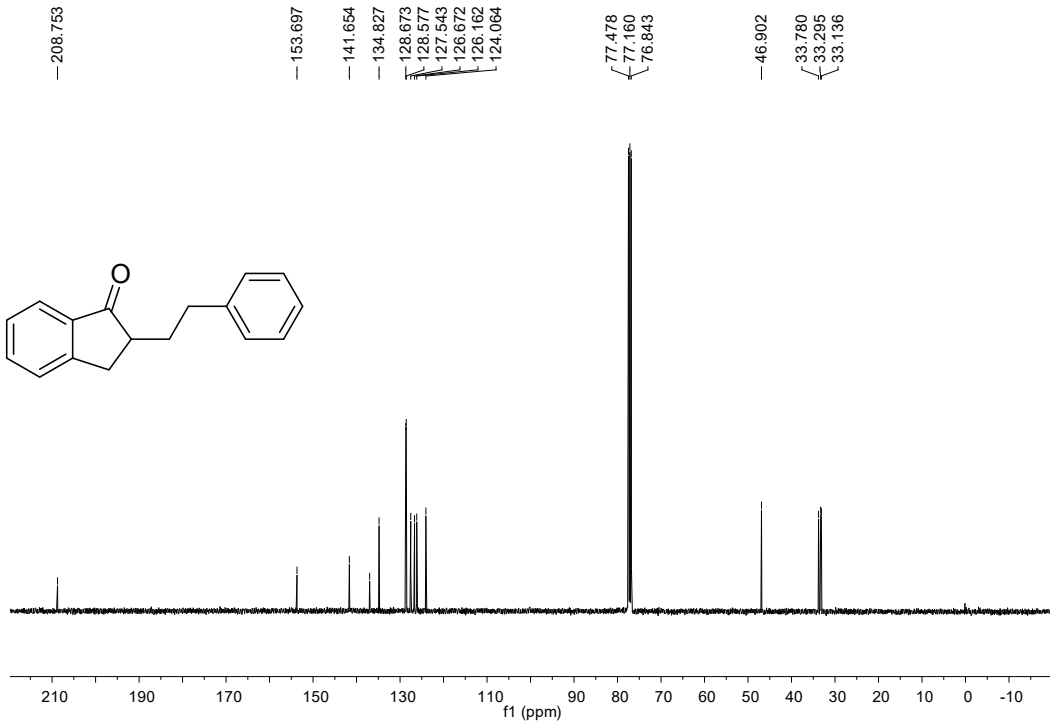
^{13}C NMR spectra of compound **34**



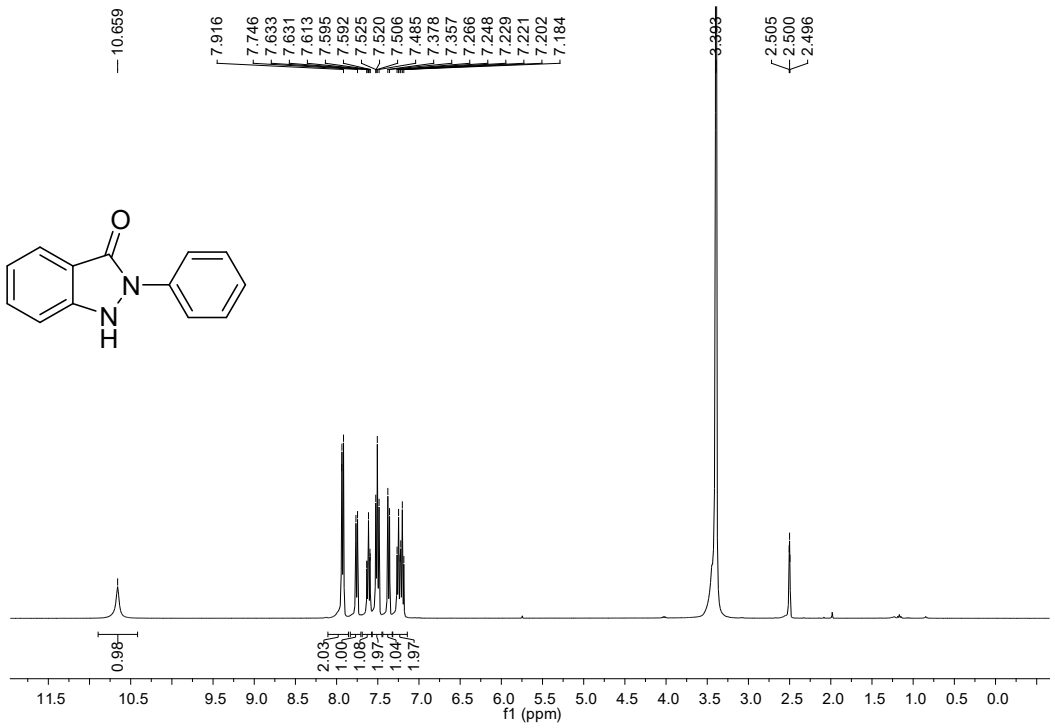
^{1}H NMR spectra of compound **35**



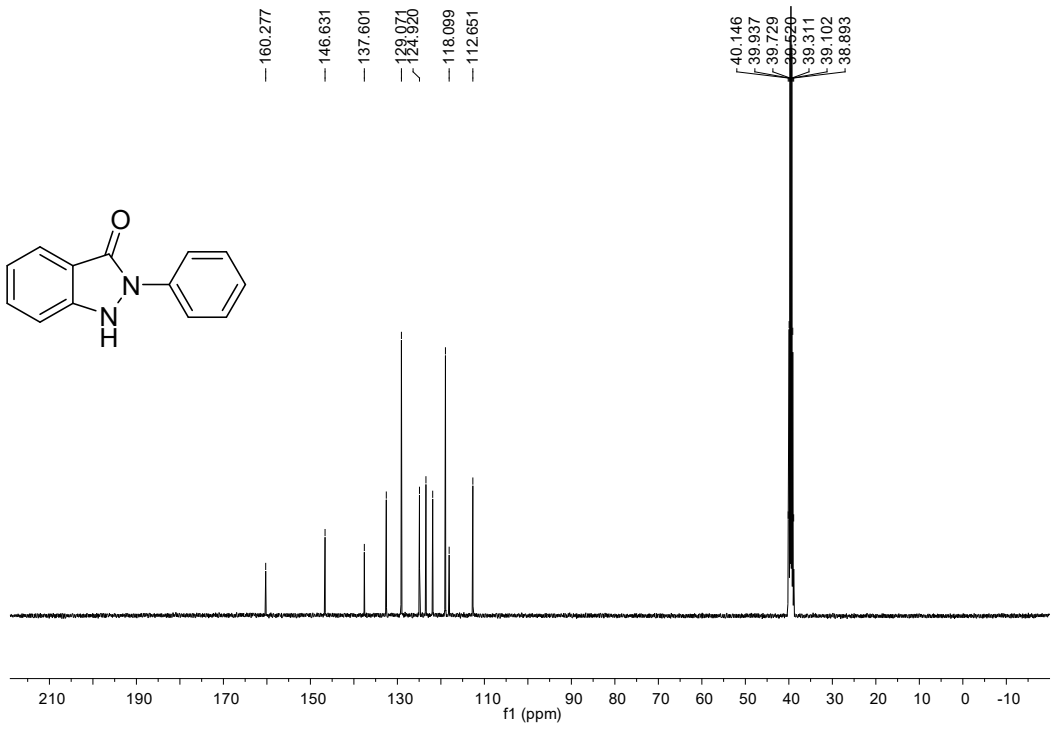
^{13}C NMR spectra of compound **35**



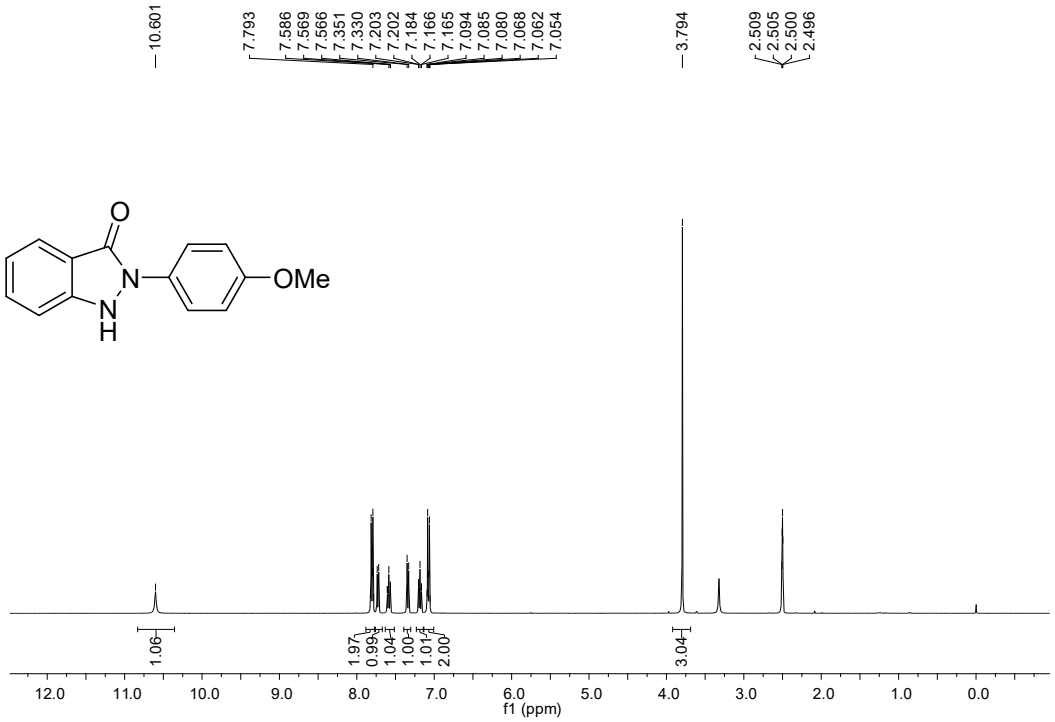
^{1}H NMR spectra of compound **36**



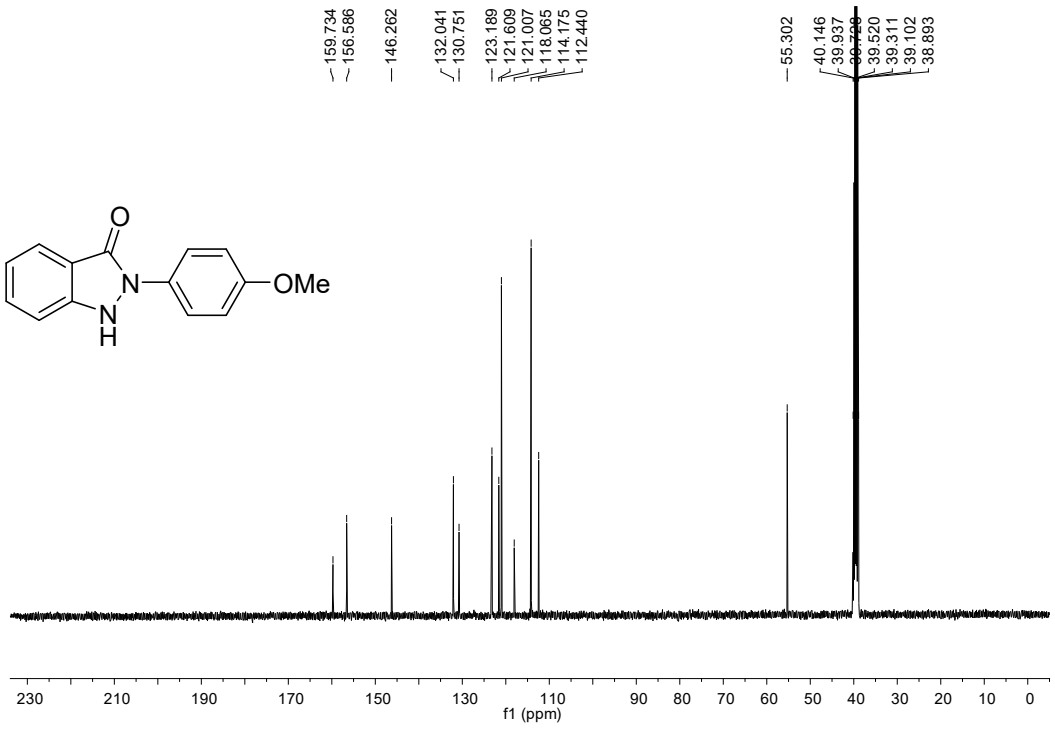
^{13}C NMR spectra of compound **36**



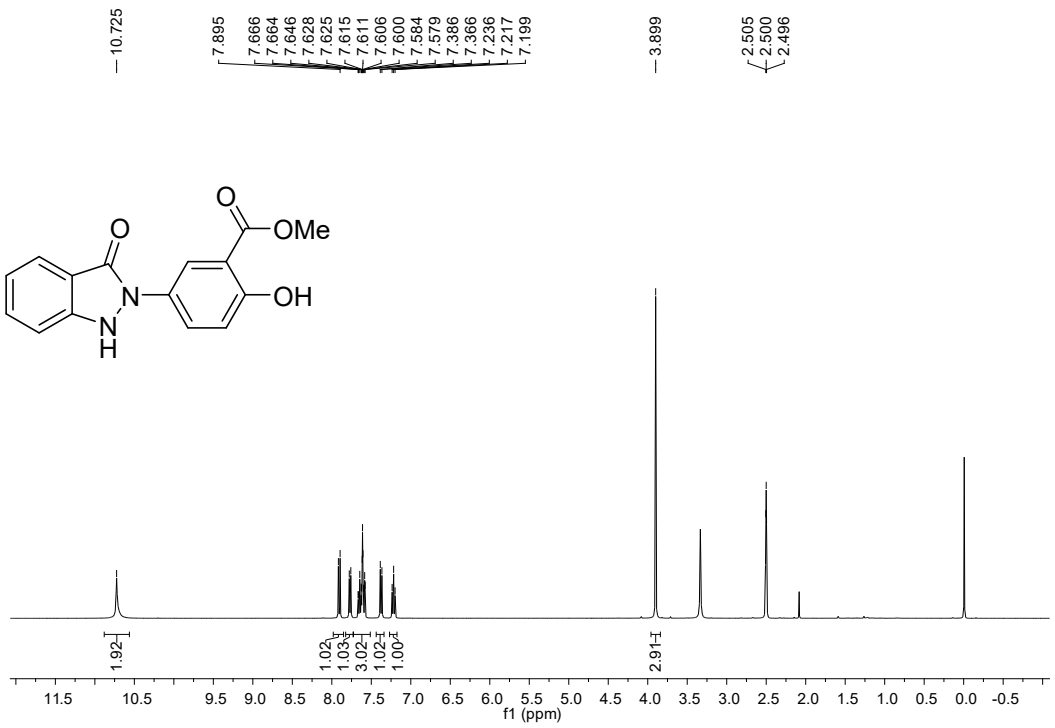
^{1}H NMR spectra of compound **37**



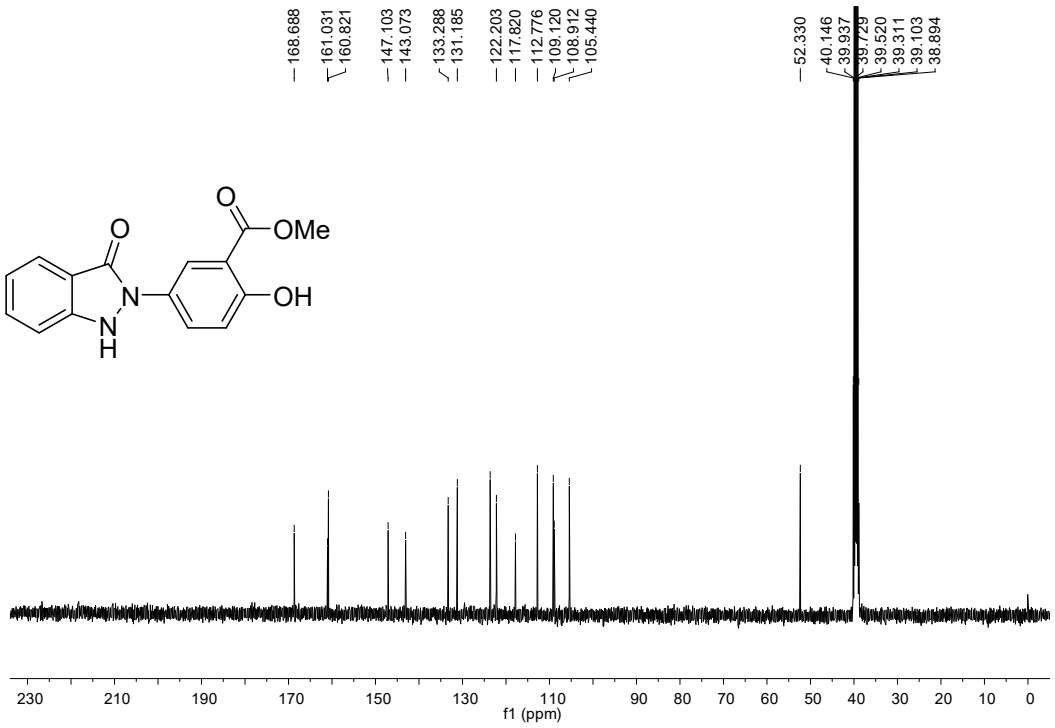
^{13}C NMR spectra of compound **37**



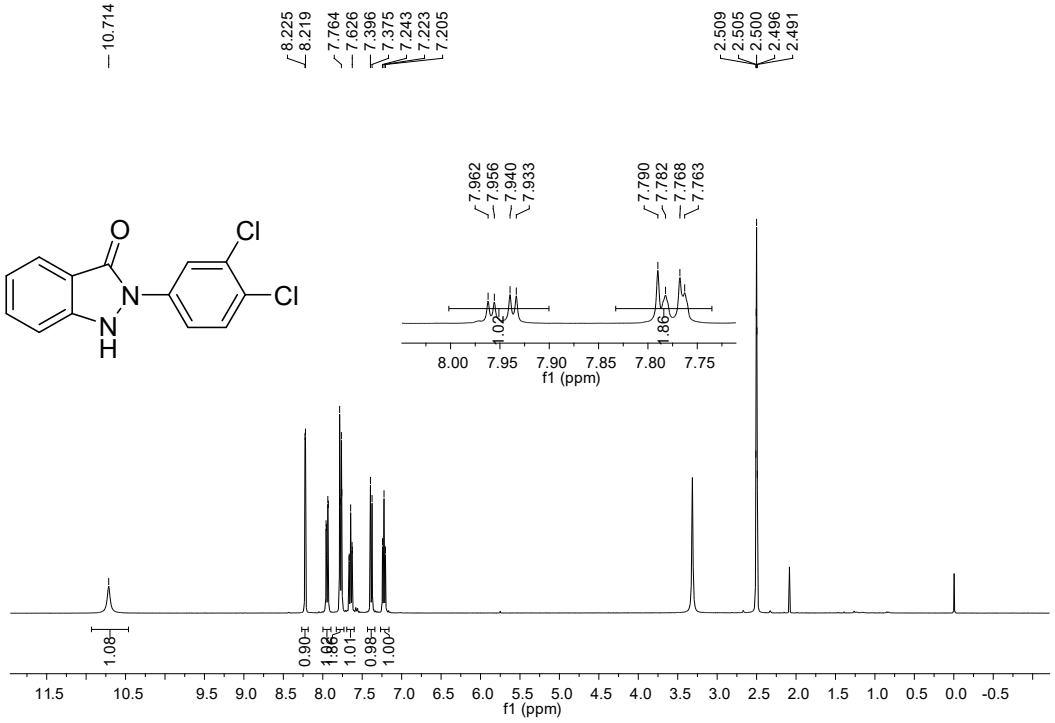
^{1}H NMR spectra of compound **38**



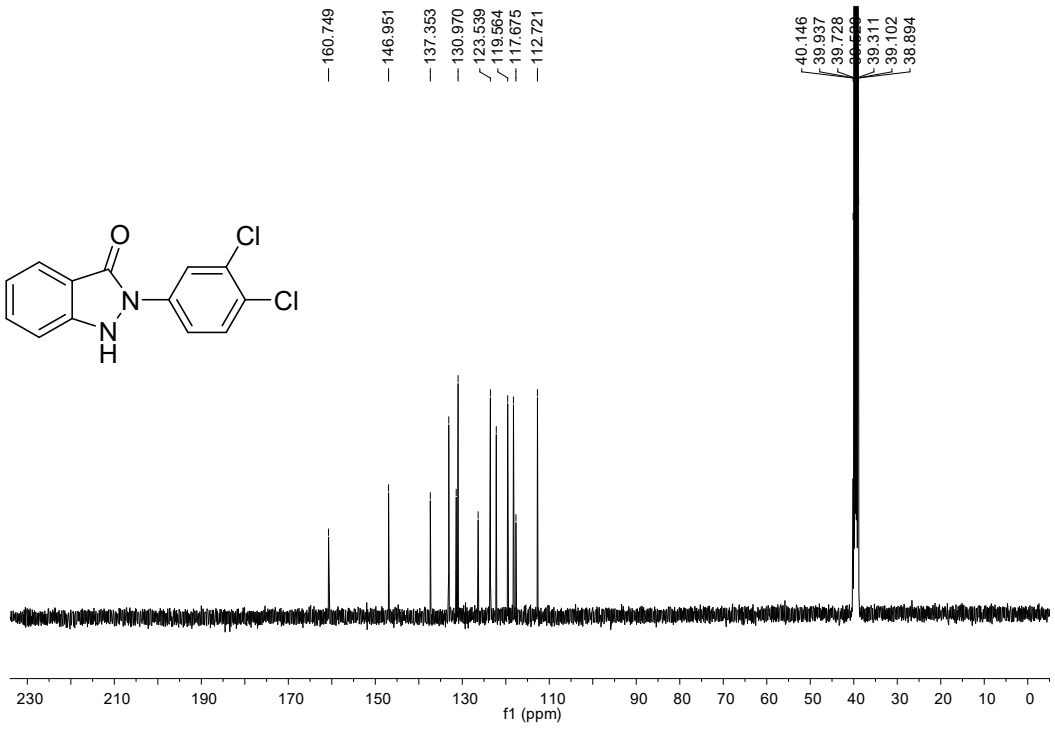
^{13}C NMR spectra of compound **38**



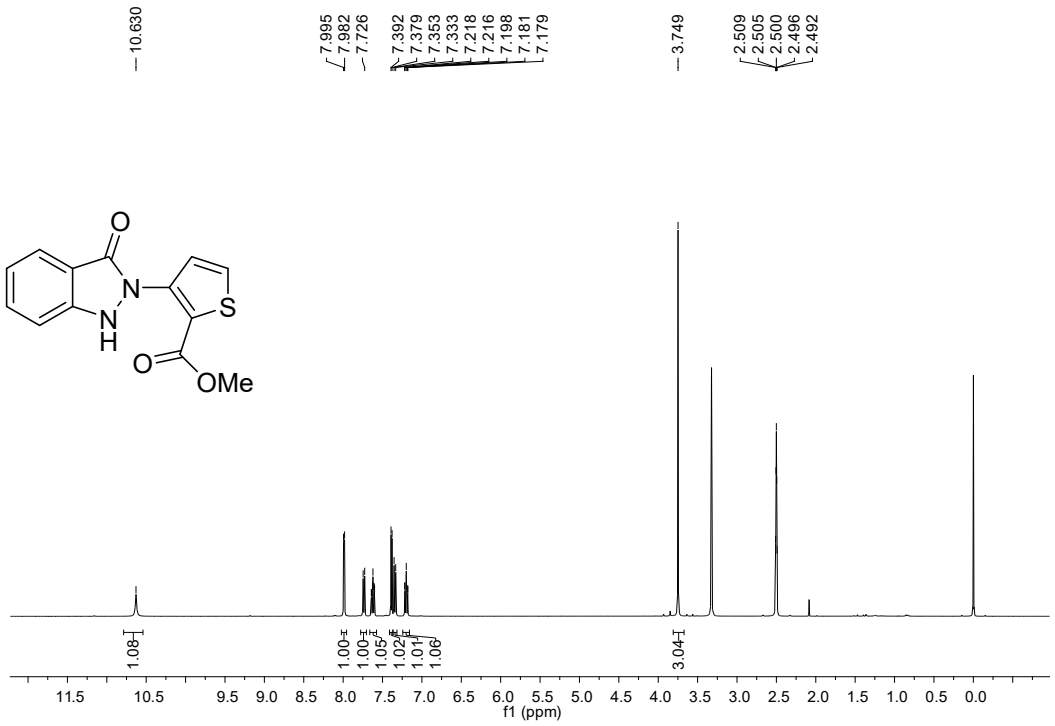
^{1}H NMR spectra of compound **39**



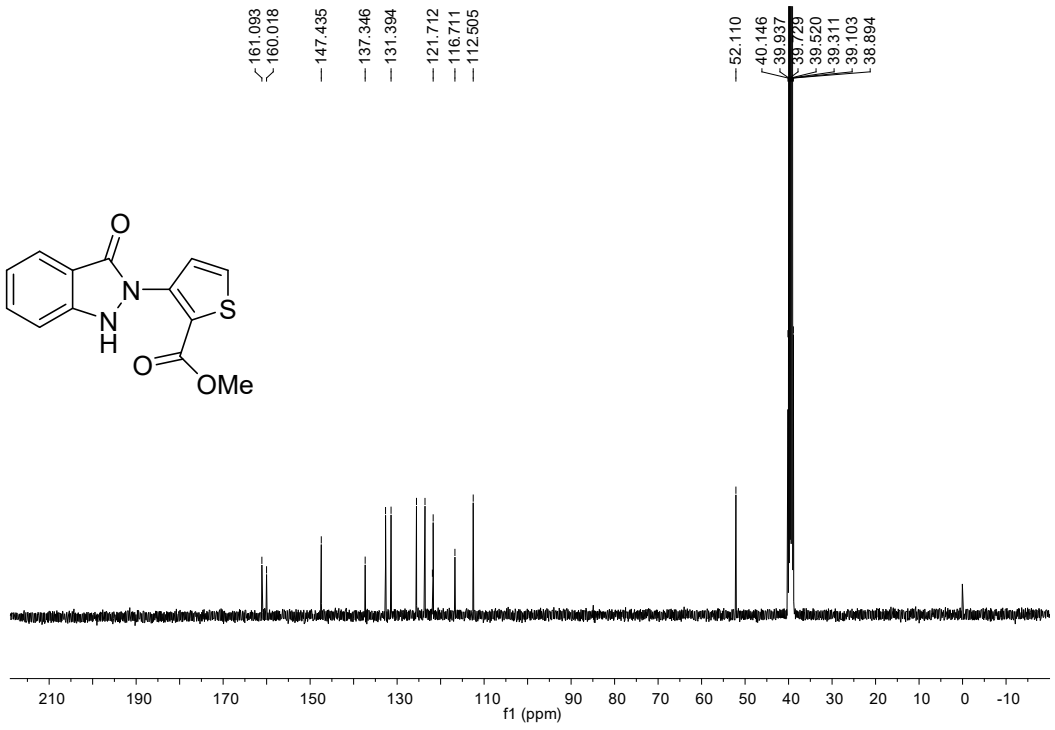
^{13}C NMR spectra of compound **39**



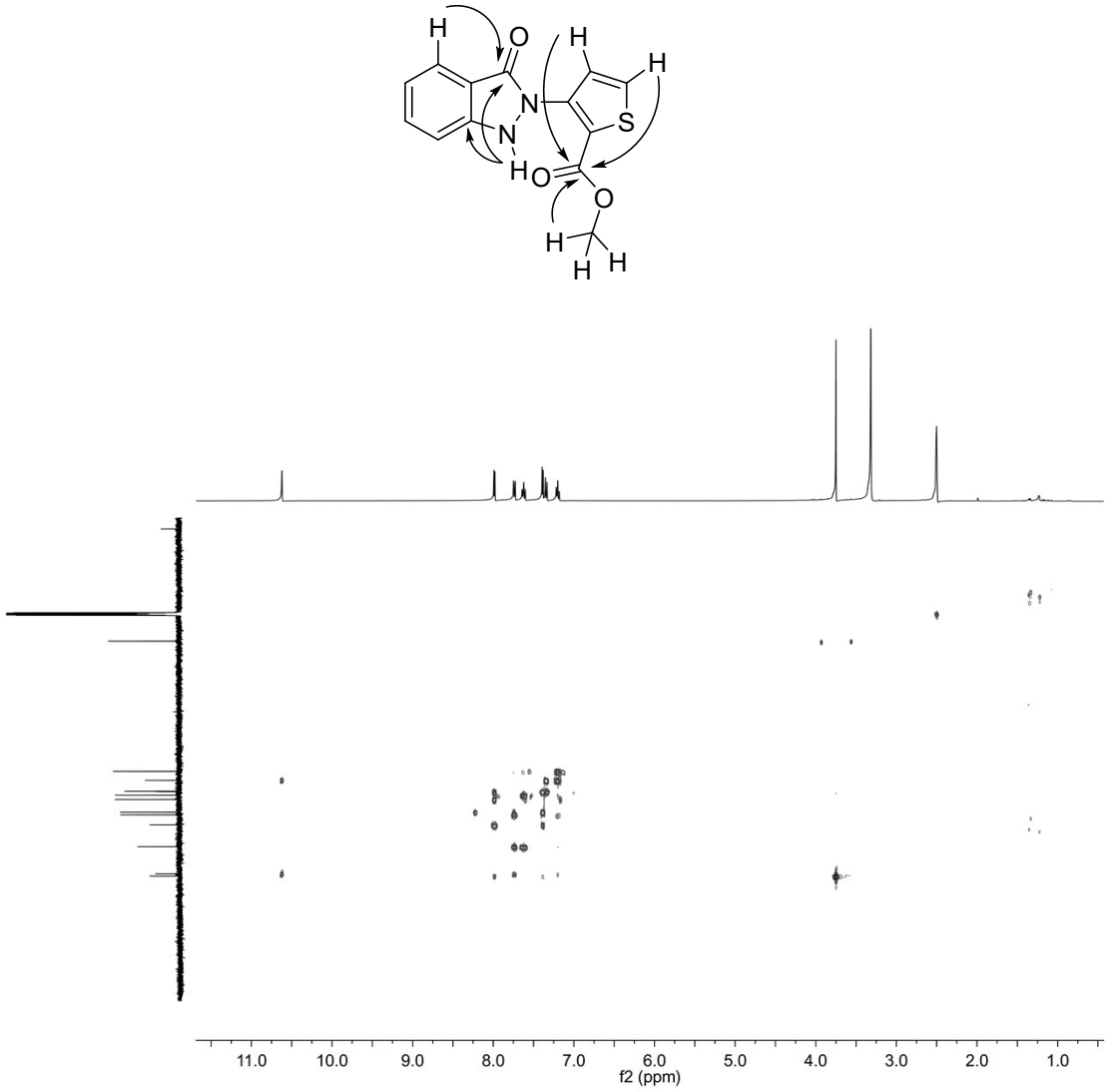
^{1}H NMR spectra of compound **40**



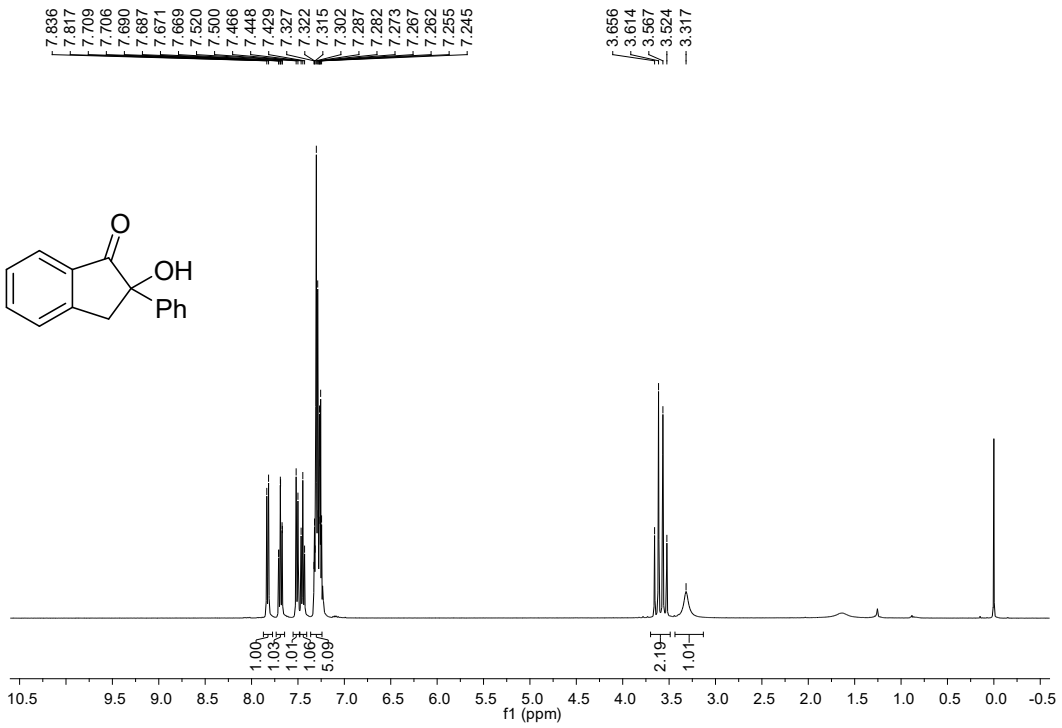
^{13}C NMR spectra of compound **40**



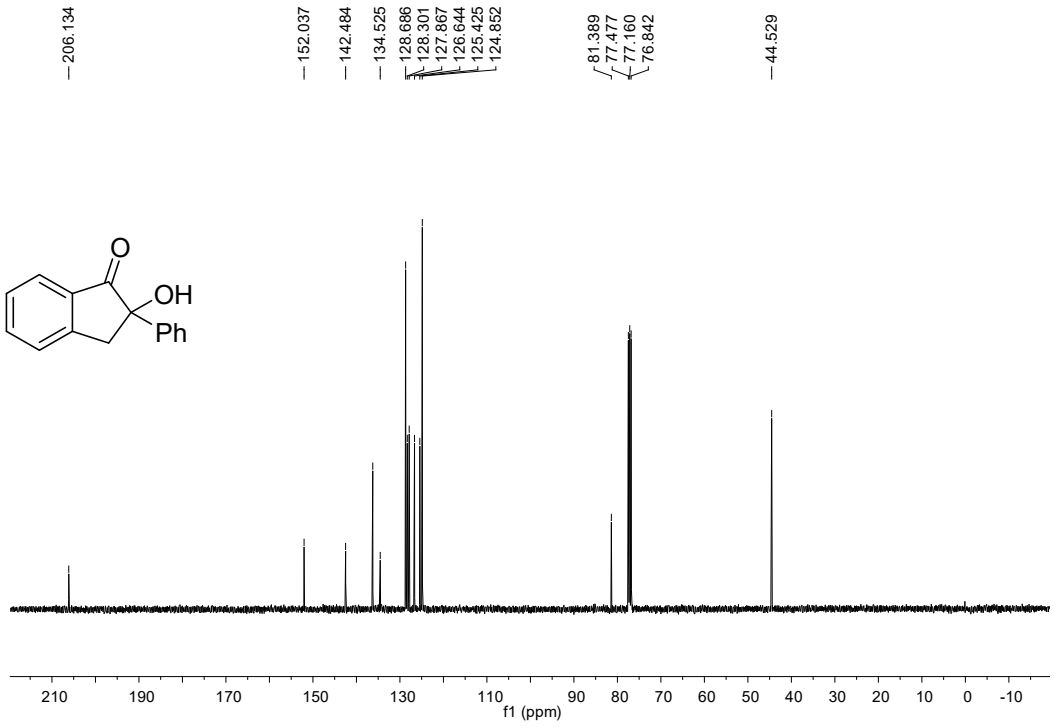
HMBC spectra of compound **40**



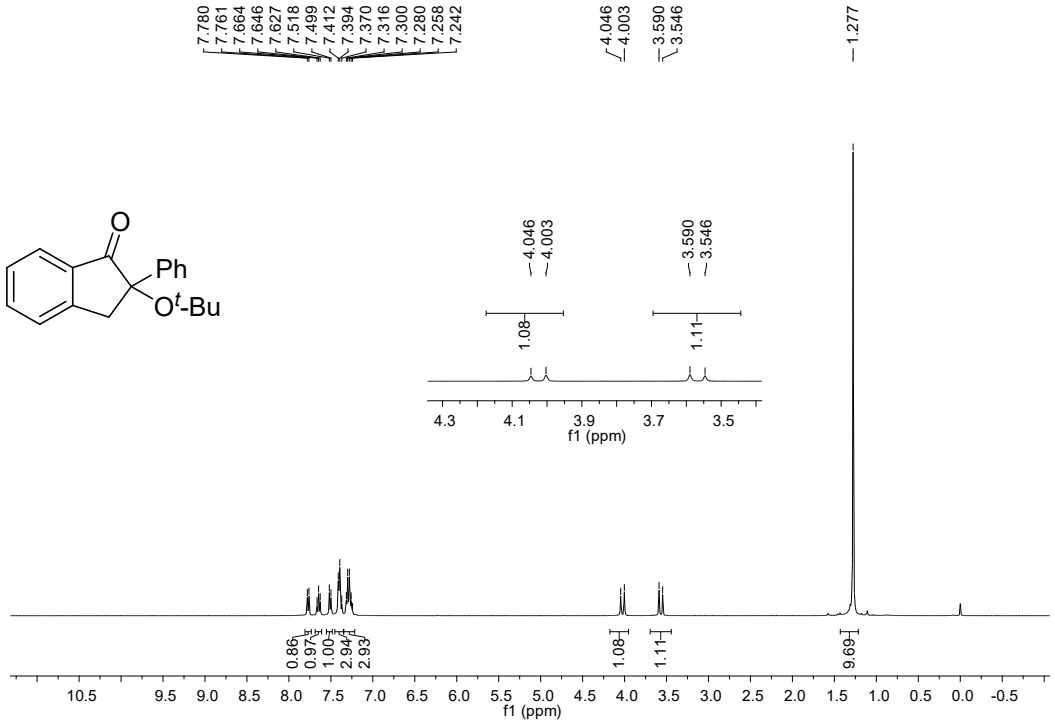
^{1}H NMR spectra of compound **41**



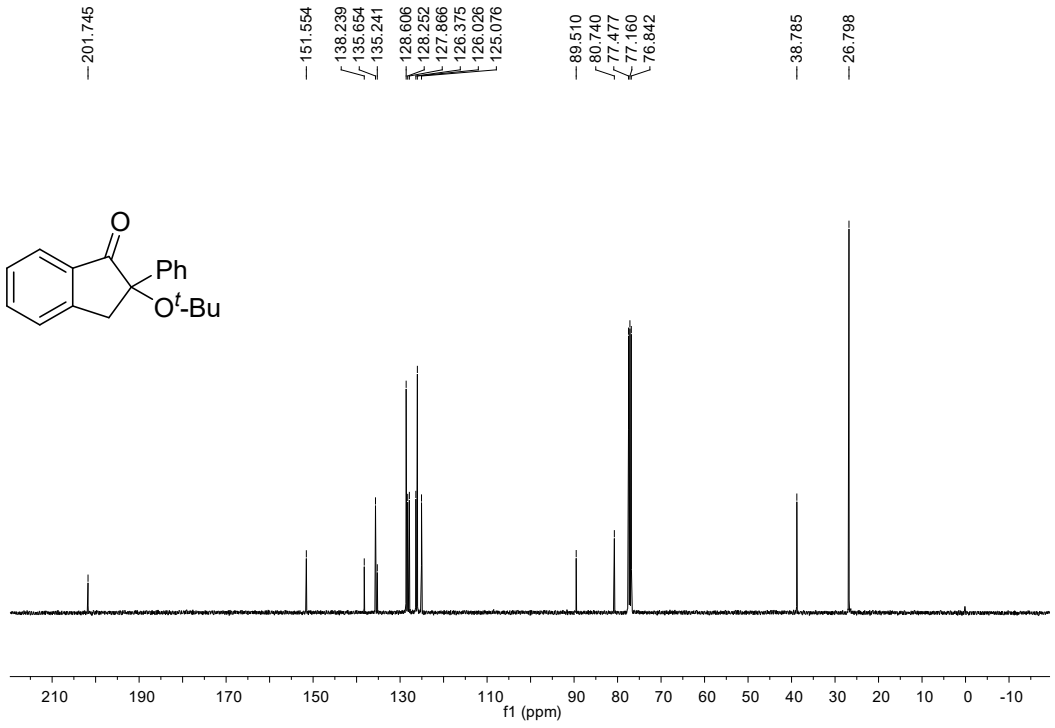
^{13}C NMR spectra of compound **41**



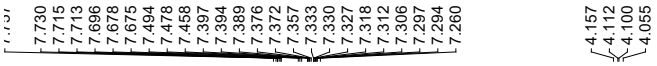
^{1}H NMR spectra of compound **42**

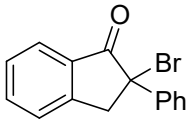


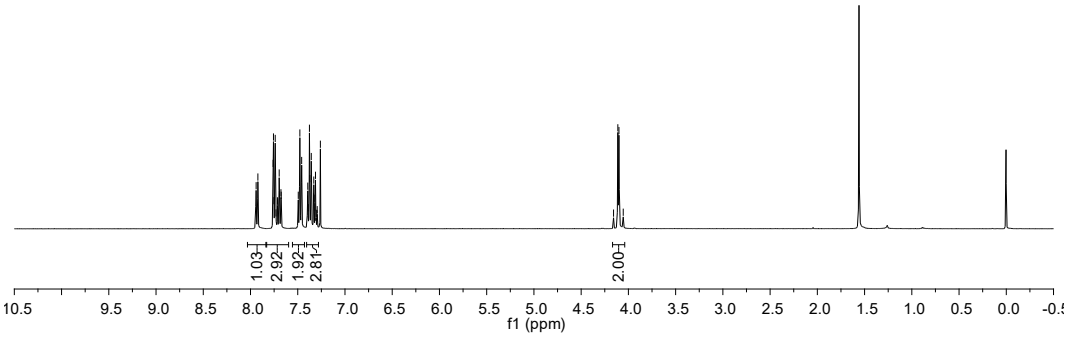
^{13}C NMR spectra of compound **42**



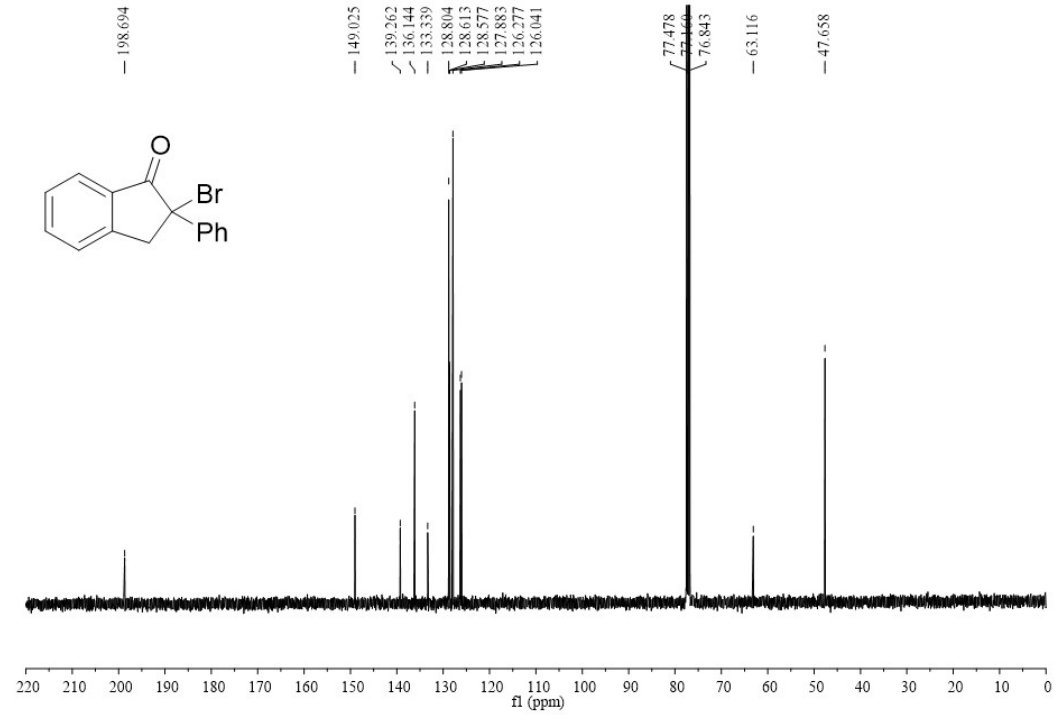
^{1}H NMR spectra of compound **43**



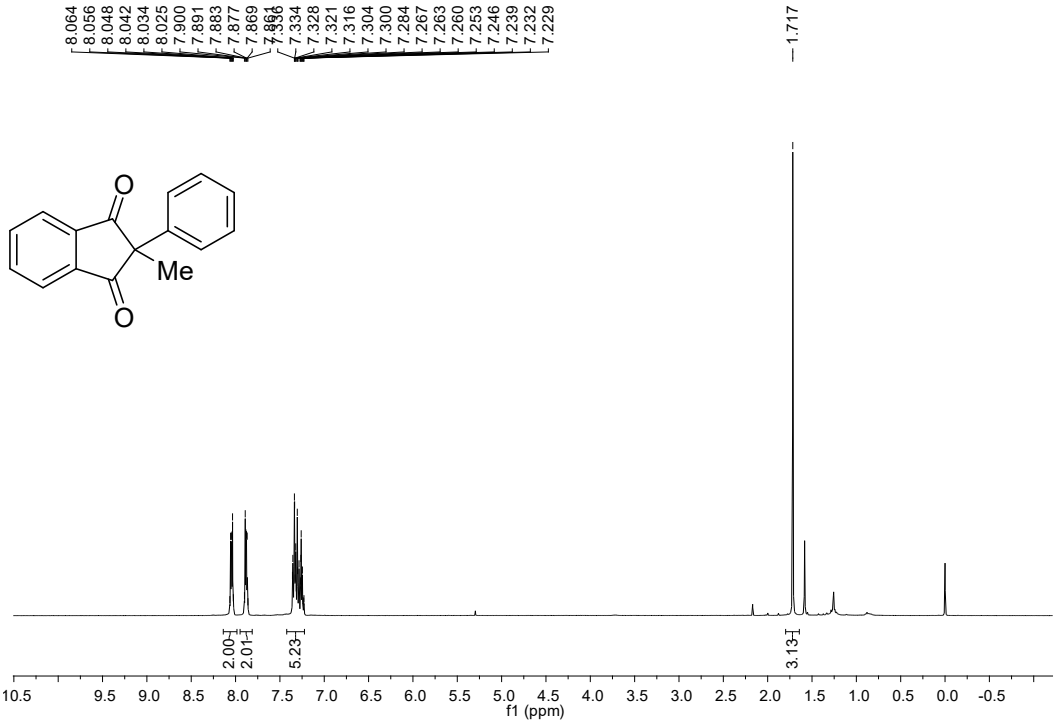




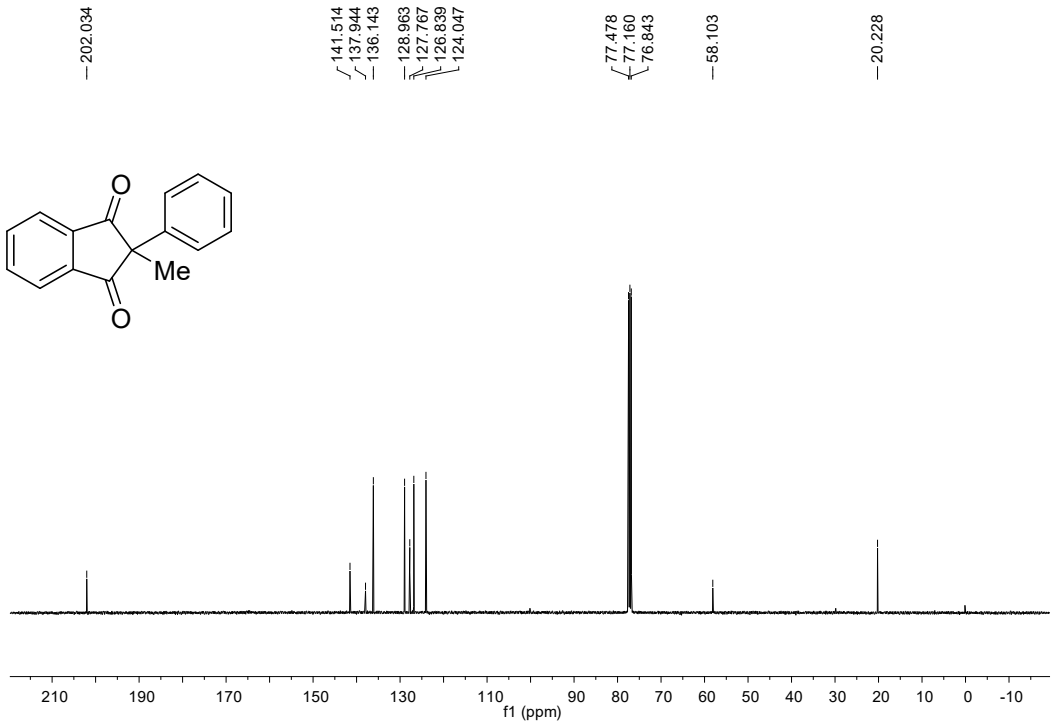
^{13}C NMR spectra of compound **43**



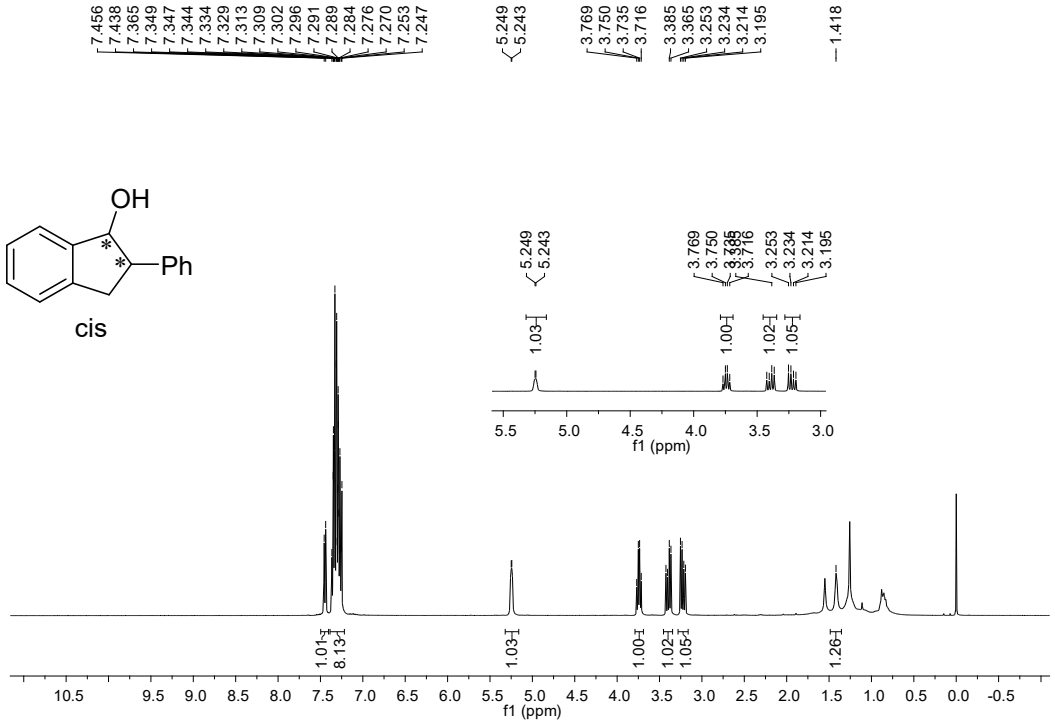
^{1}H NMR spectra of compound **44**



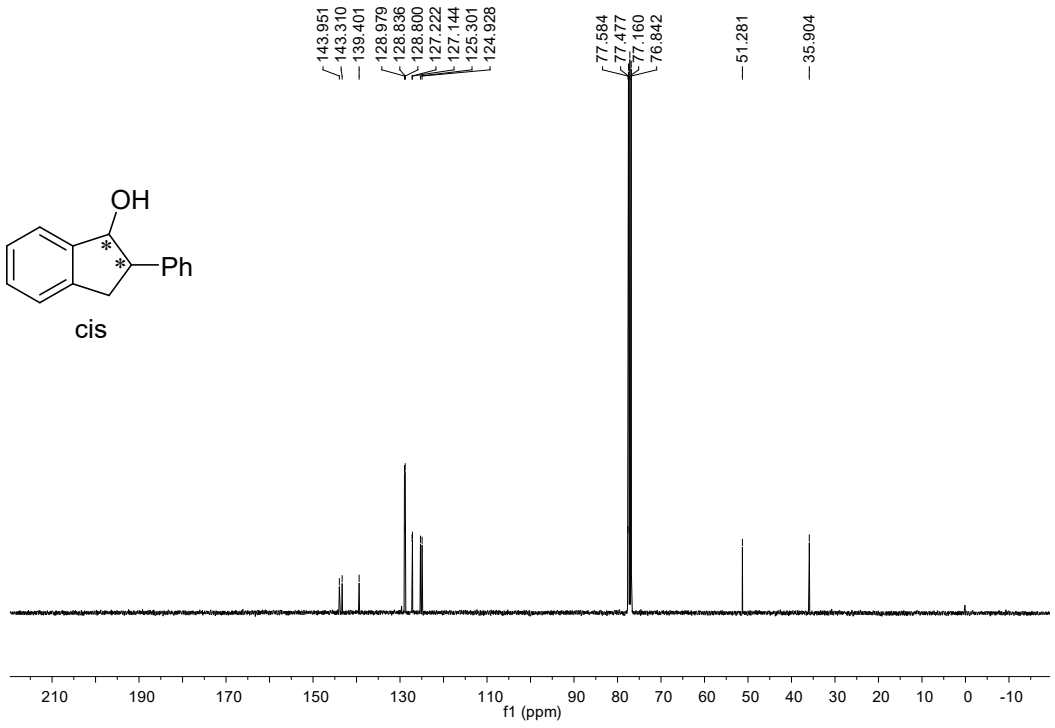
^{13}C NMR spectra of compound **44**



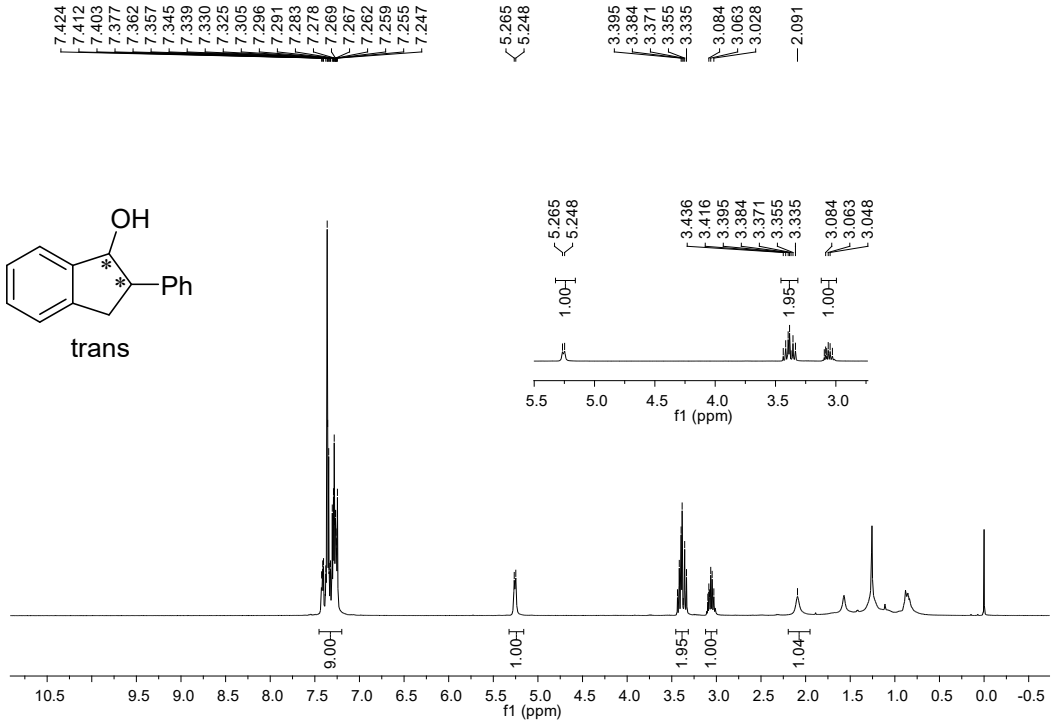
^{1}H NMR spectra of compound *cis*-**45**



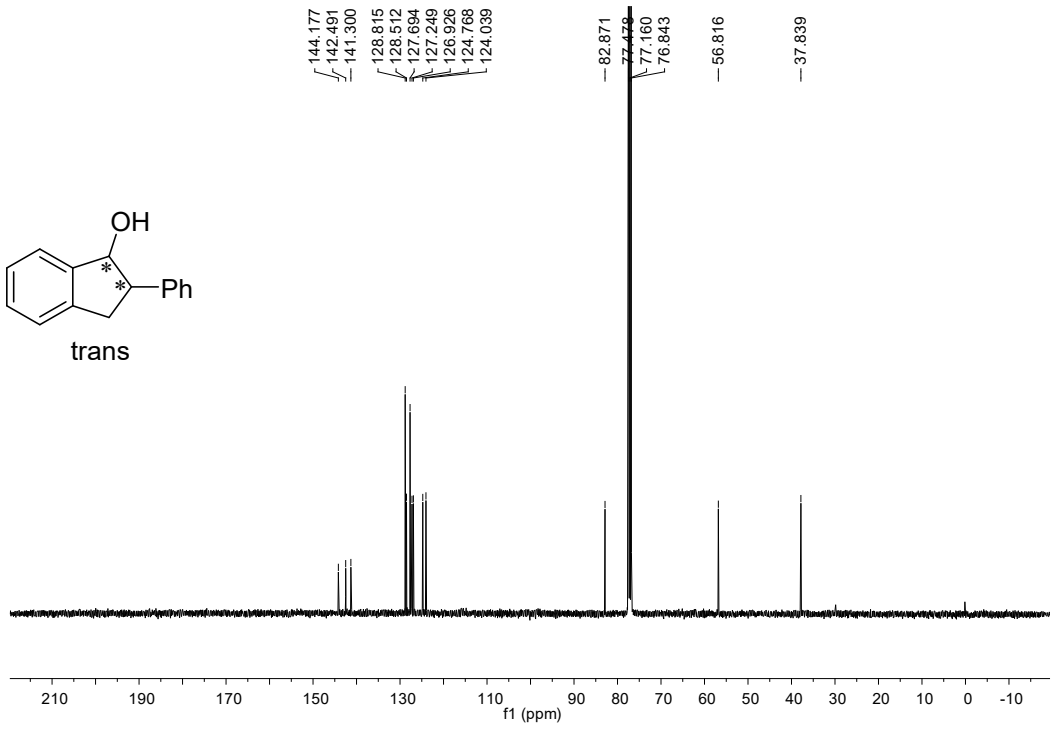
^{13}C NMR spectra of compound *cis*-**45**



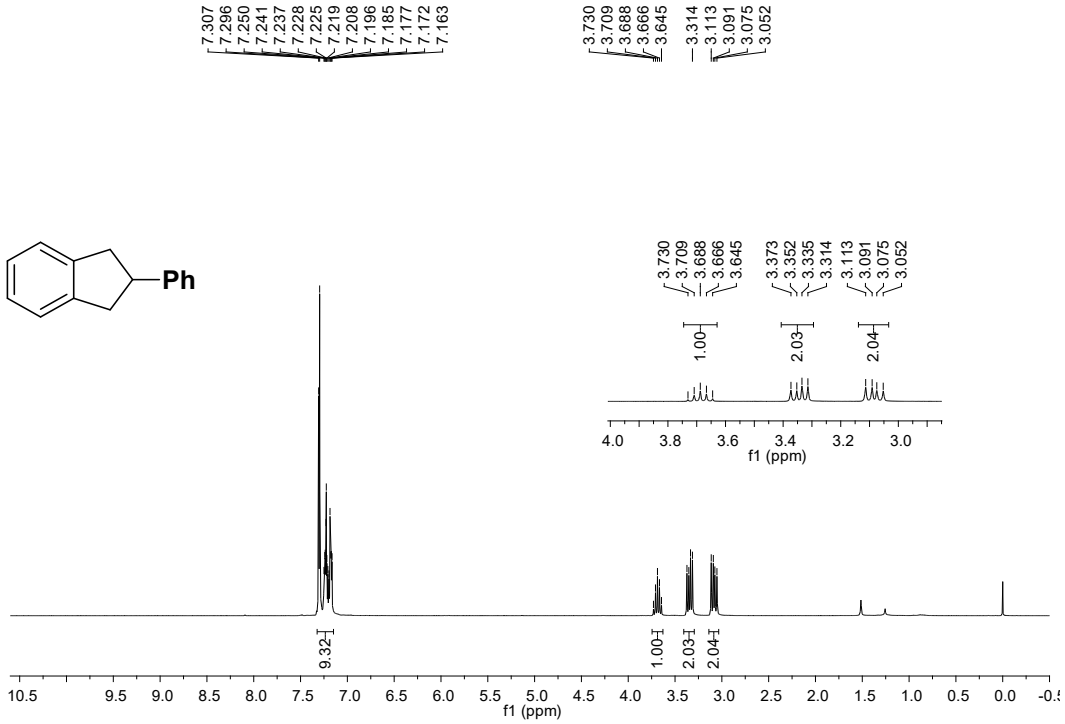
^{1}H NMR spectra of compound *trans*-**45**



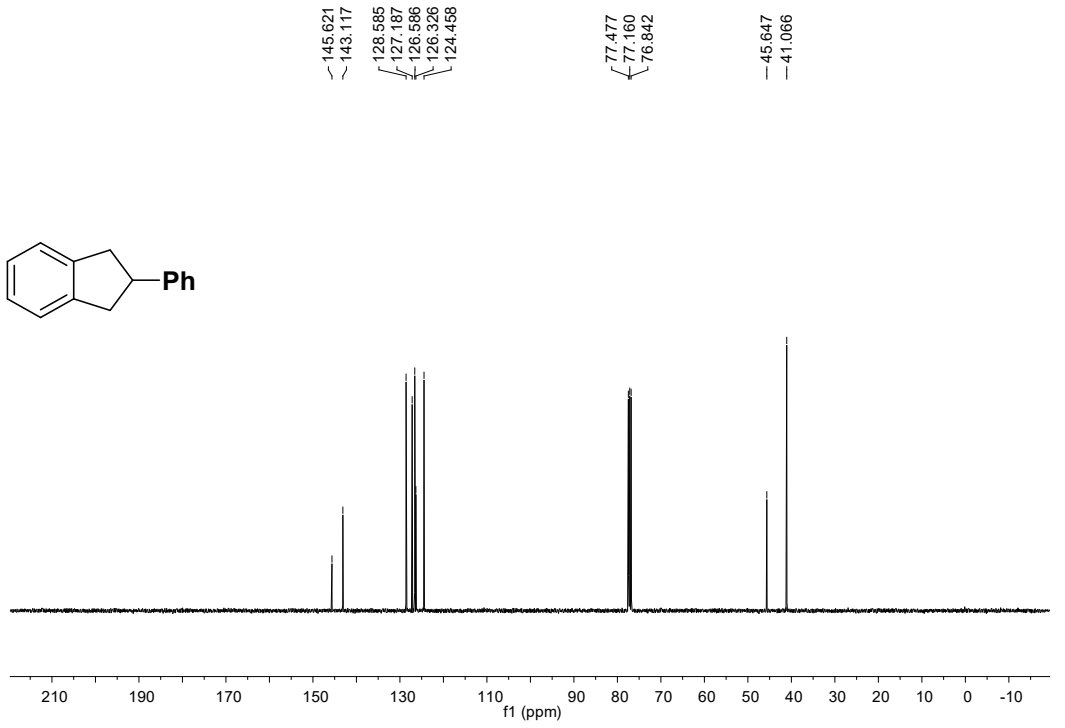
^{13}C NMR spectra of compound *trans*-**45**



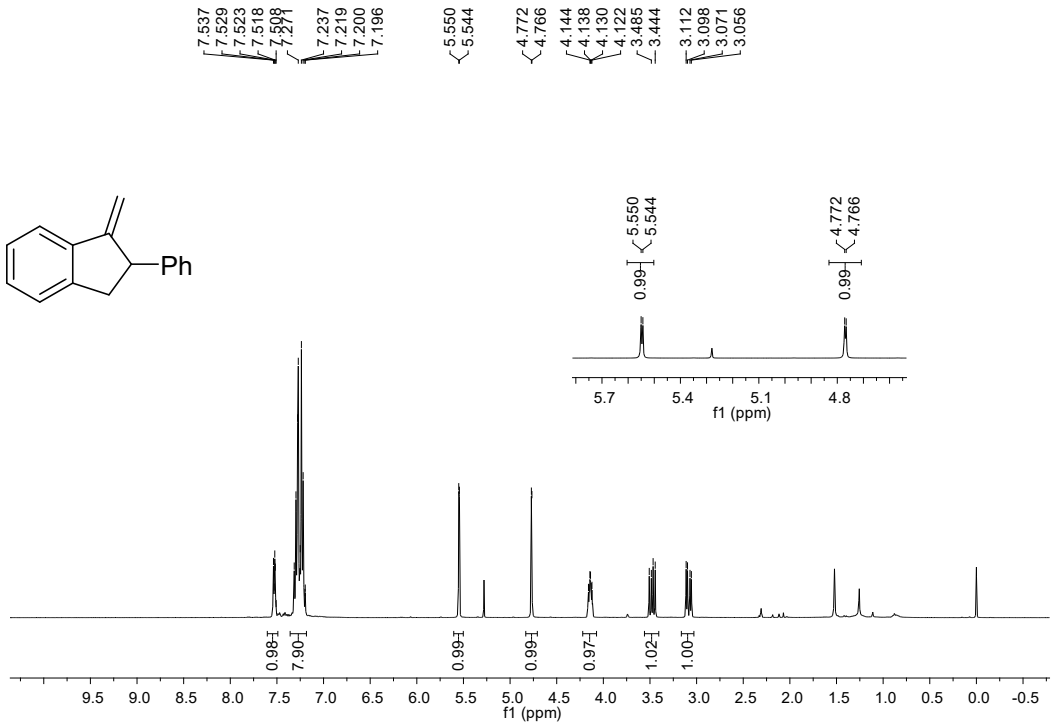
^{1}H NMR spectra of compound **46**



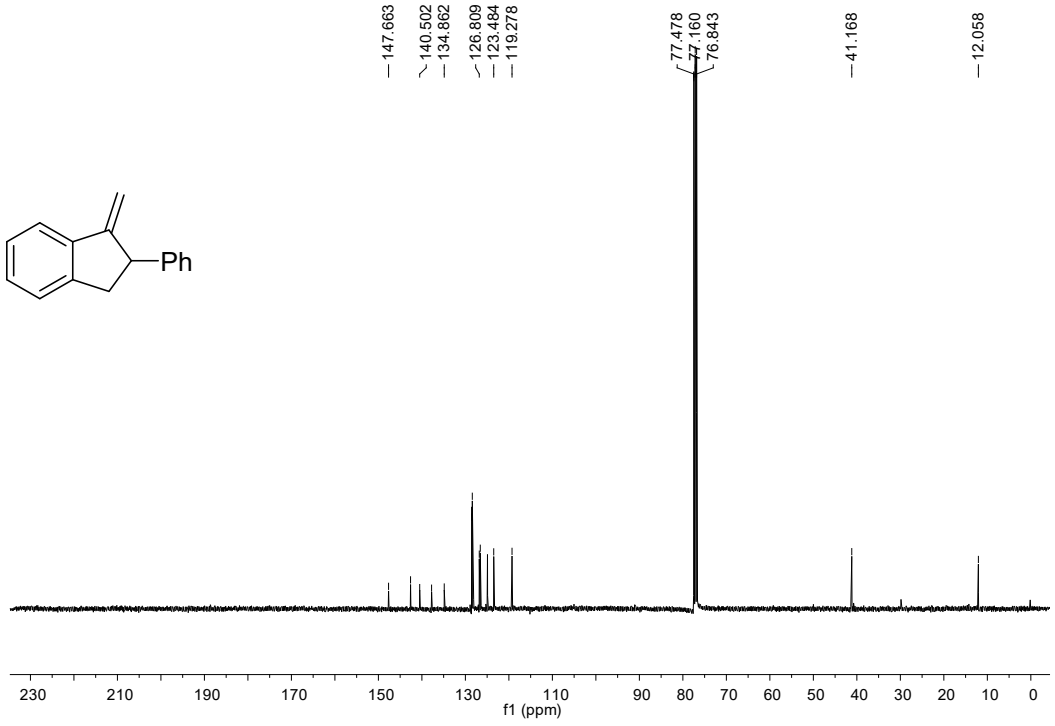
^{13}C NMR spectra of compound **46**



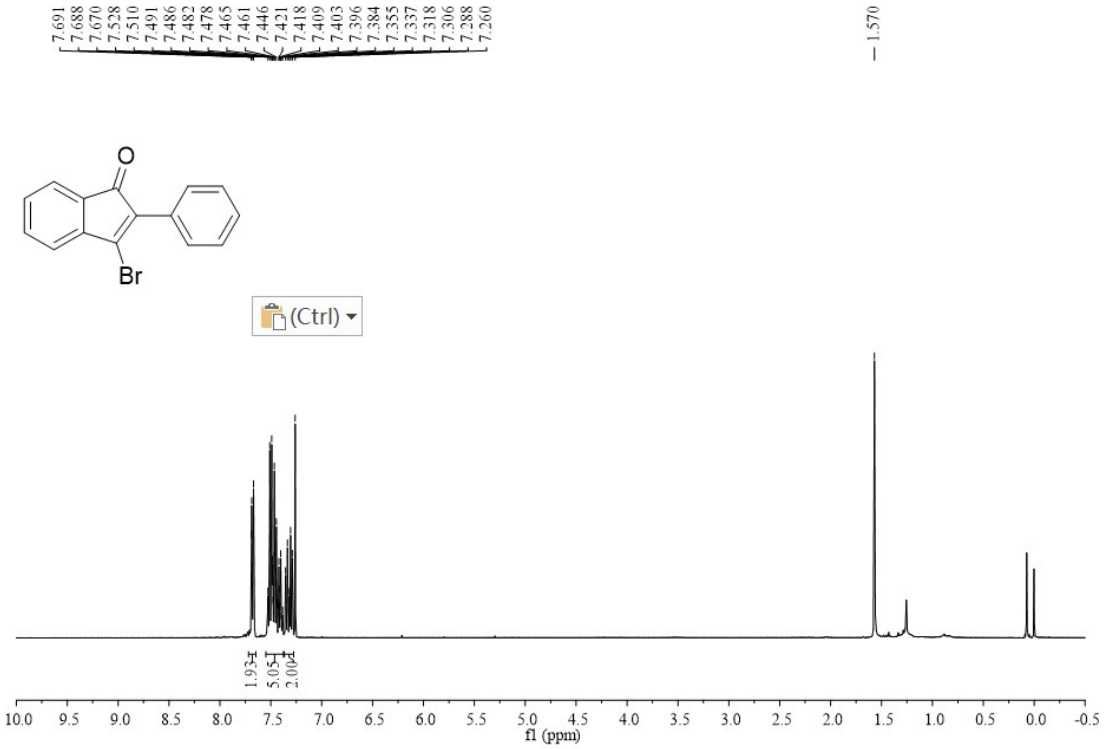
^{1}H NMR spectra of compound **47**



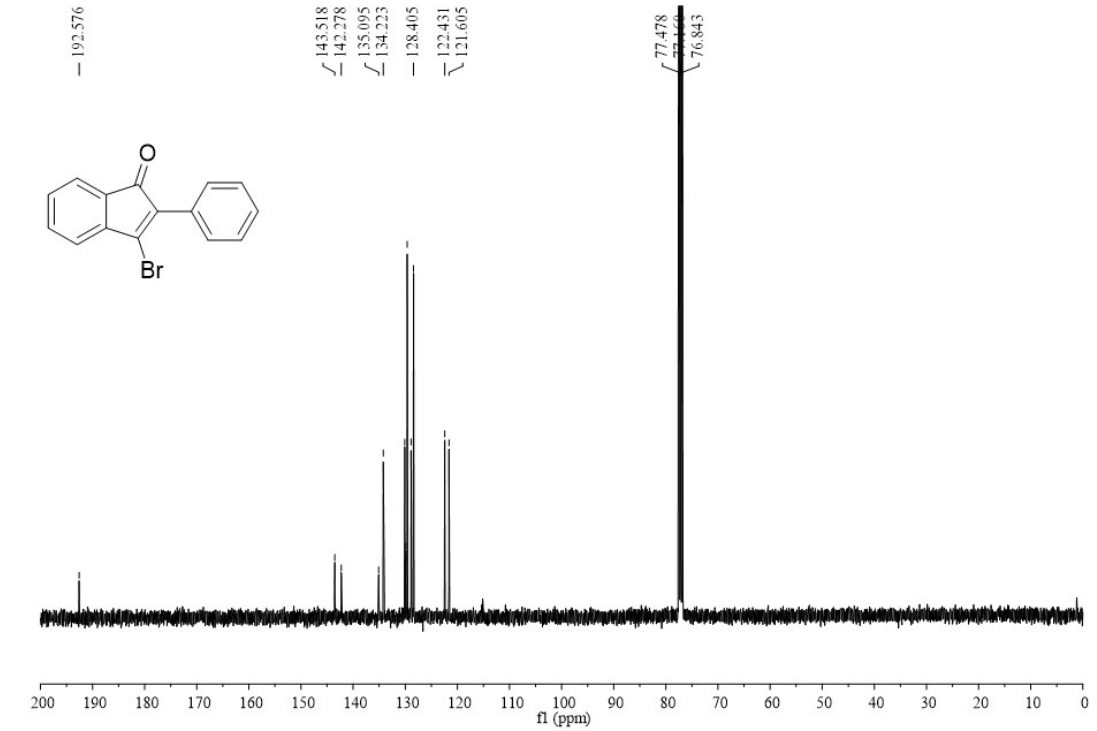
^{13}C NMR spectra of compound **47**



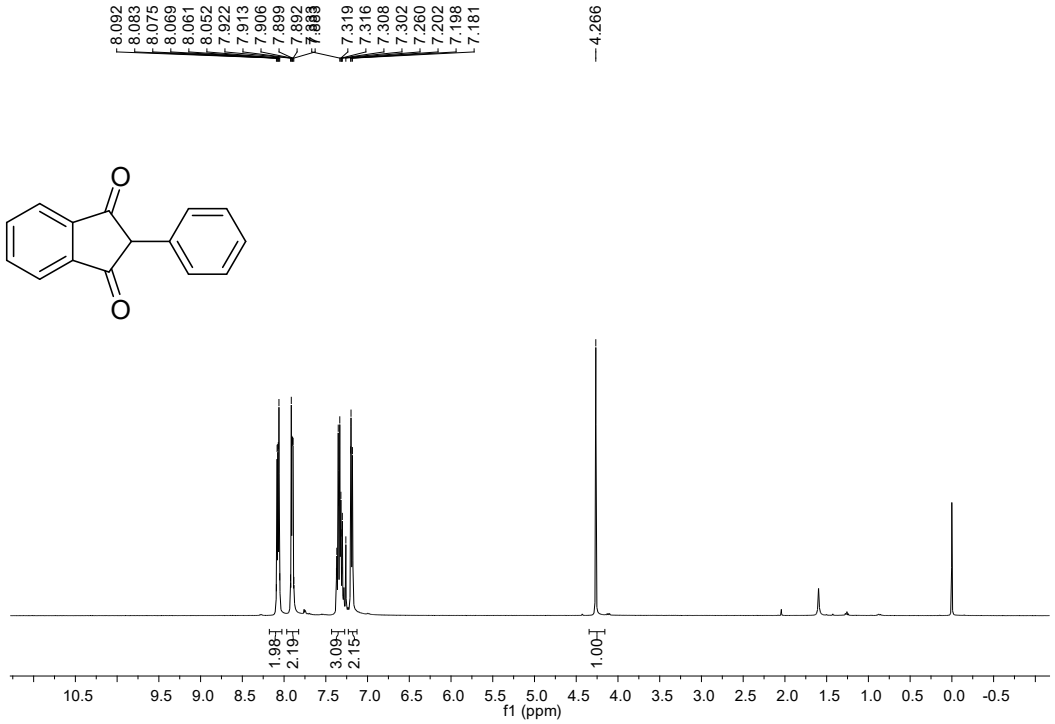
[1]H NMR spectra of compound **48**



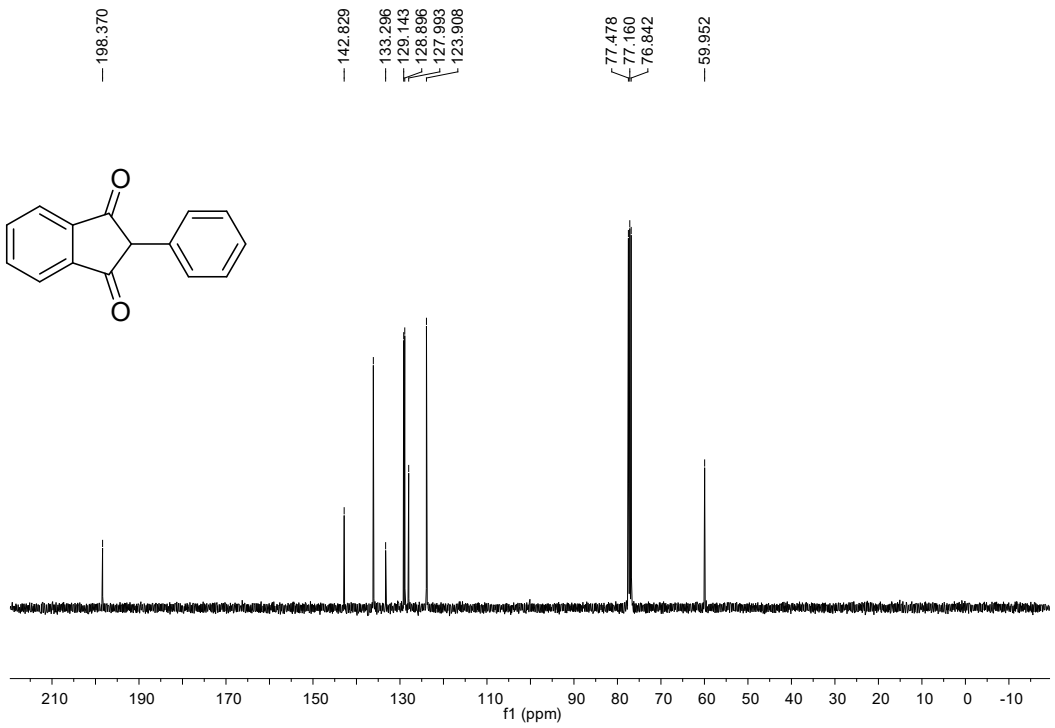
[13]C NMR spectra of compound **48**



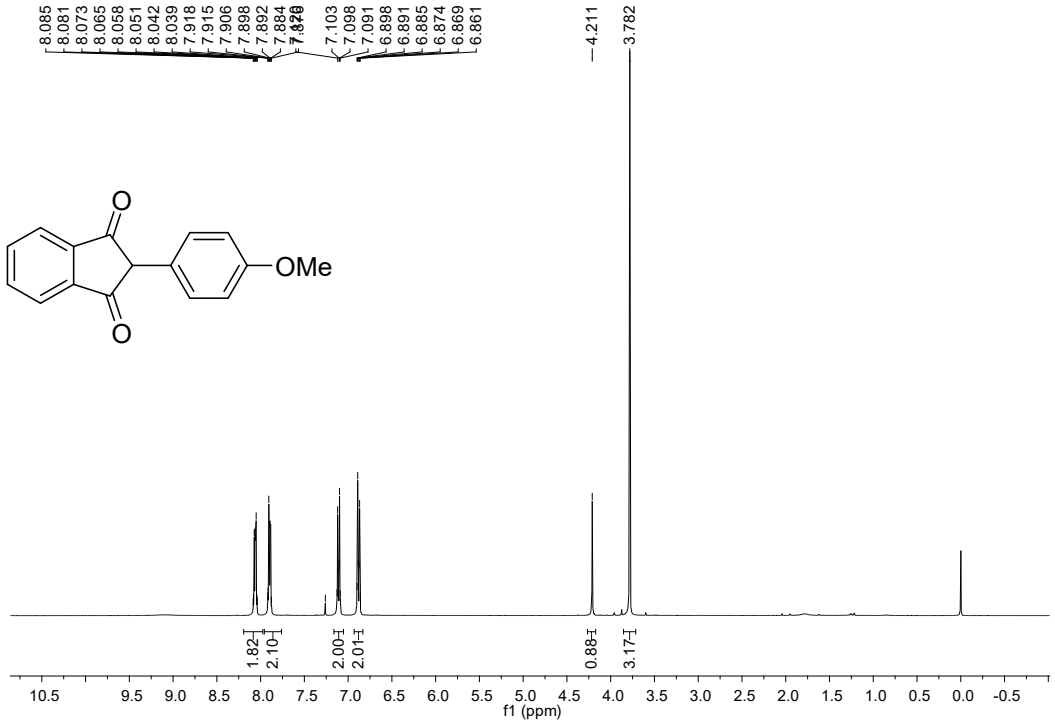
^{1}H NMR spectra of compound **49**



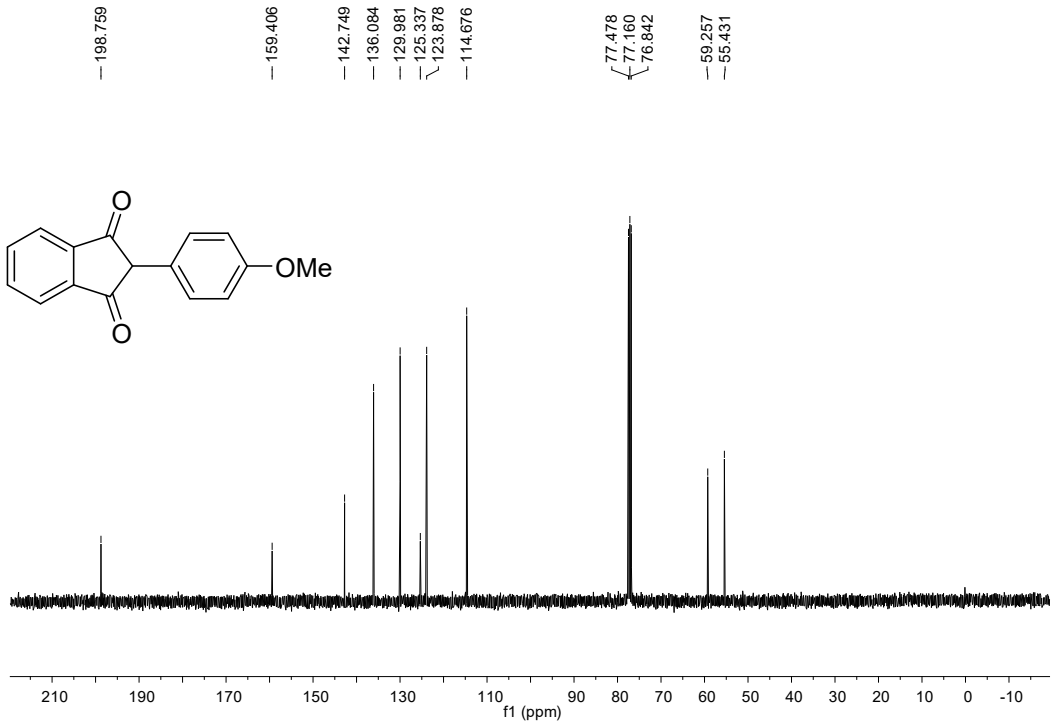
^{13}C NMR spectra of compound **49**



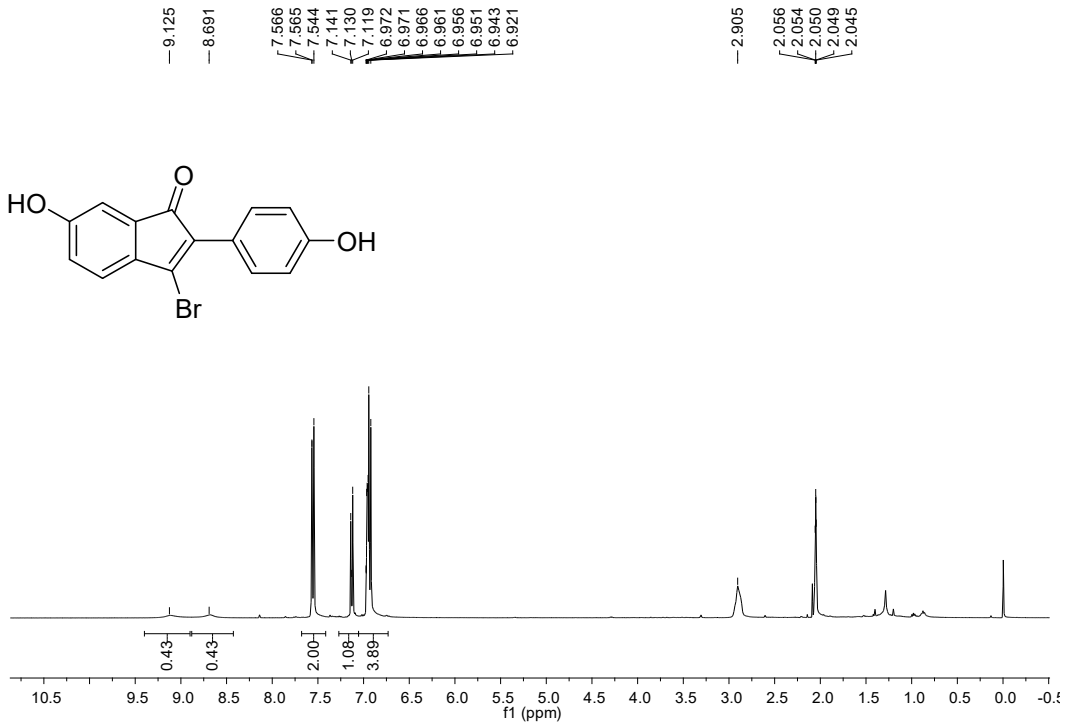
^{1}H NMR spectra of compound **50**



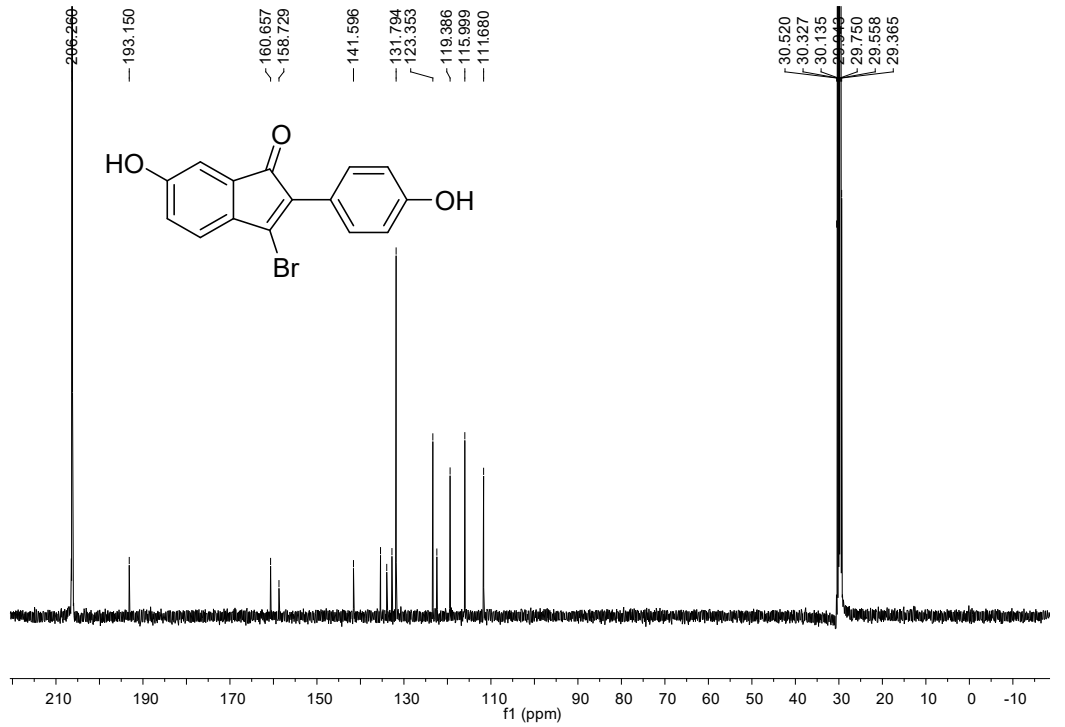
^{13}C NMR spectra of compound **50**



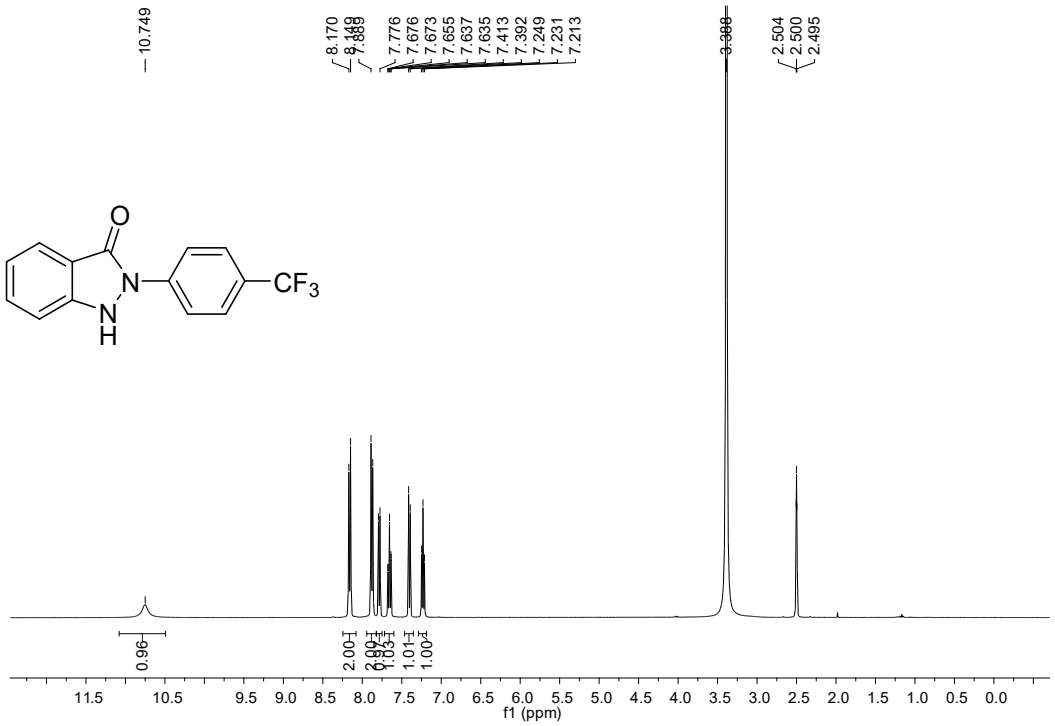
^{1}H NMR spectra of compound **51**



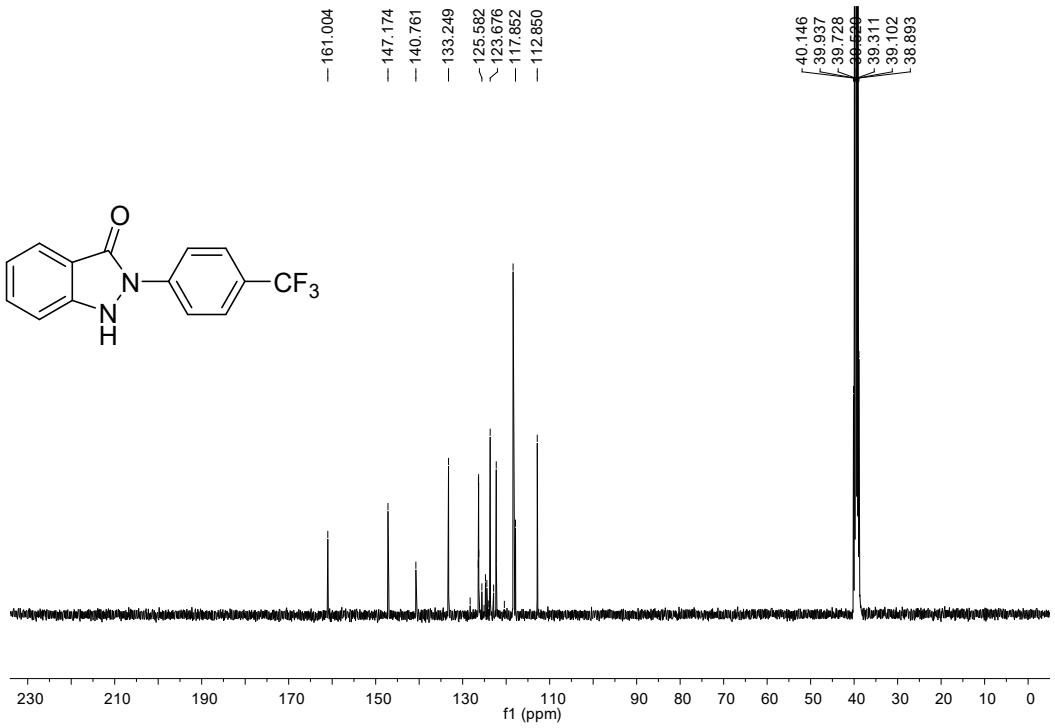
^{13}C NMR spectra of compound **51**



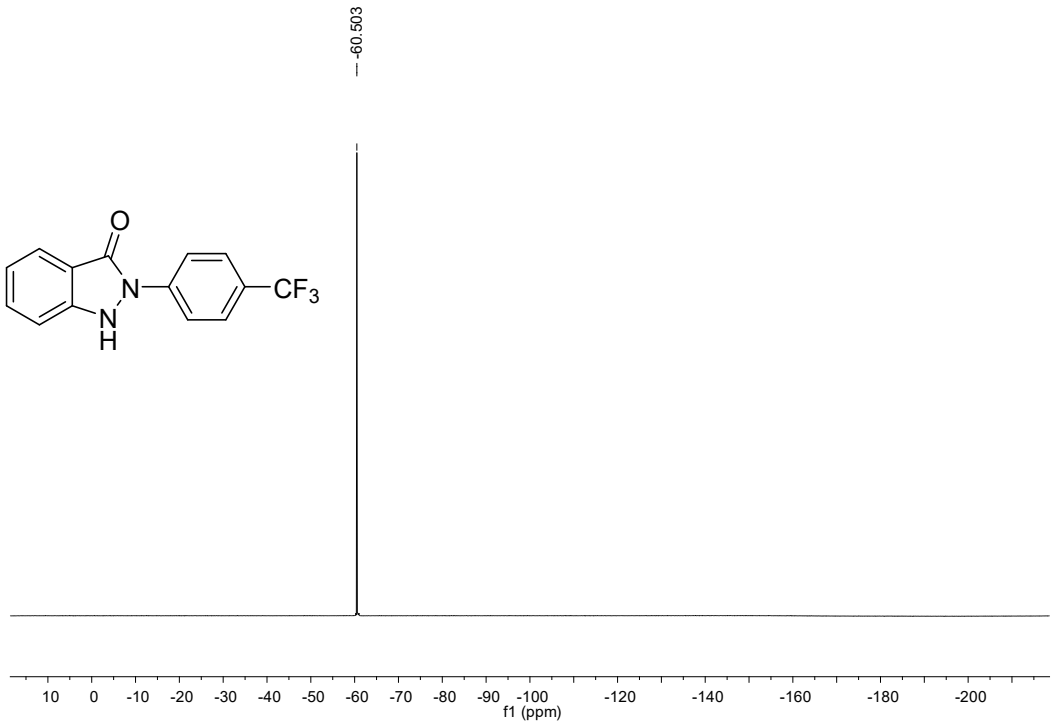
^{1}H NMR spectra of compound **53**



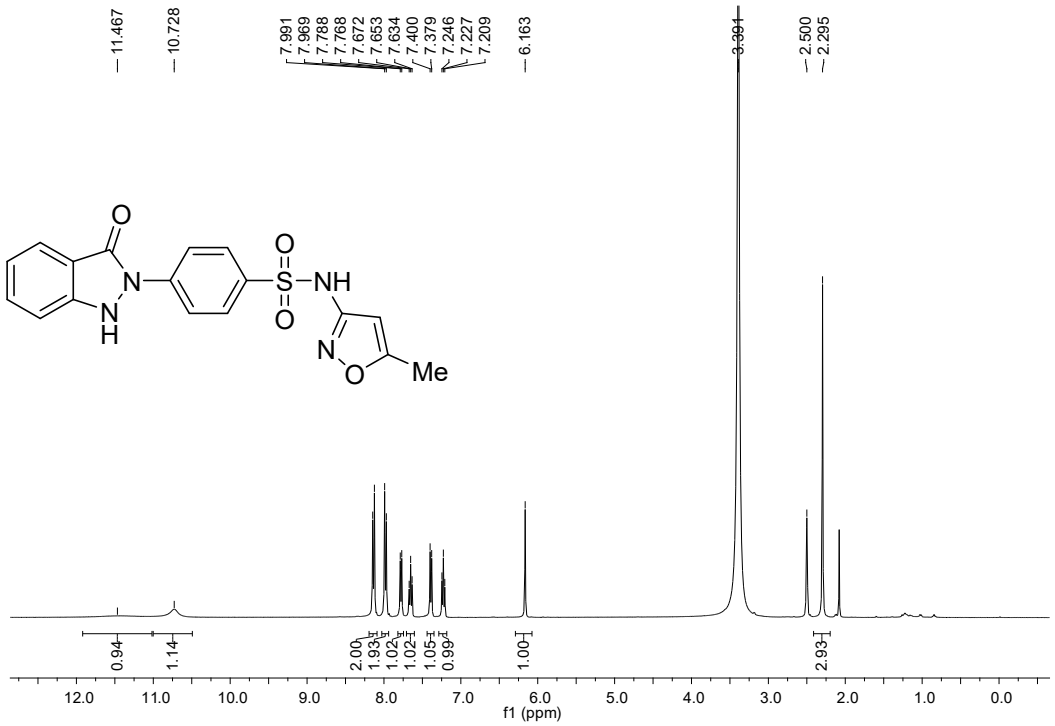
^{13}C NMR spectra of compound **53**



^{19}F NMR spectra of compound **53**



[1]H NMR spectra of compound **55**



[13]C NMR spectra of compound **55**