Supplementary Information (SI) for Green Chemistry.
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Supplementary Information

A Switchable Reductive Cyclization of *o*-Alkyl Nitroarenes: Divergent Synthesis of Heterocycles

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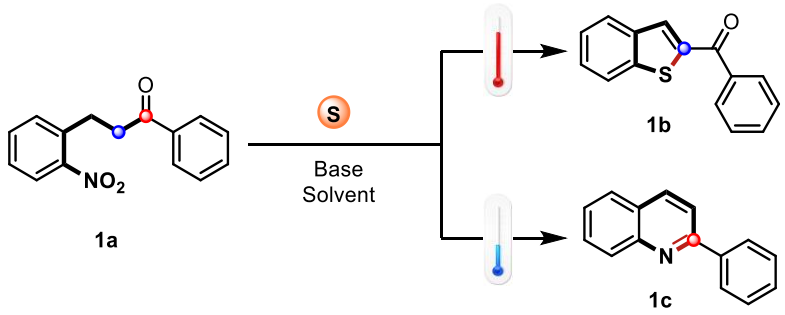
1 General information

Unless otherwise noted, all reactions were performed under an argon atmosphere using flame-dried glassware. Toluene, DCM and DCE were distilled over CaH_2. All new compounds were fully characterized. NMR-spectra were recorded on Bruker AV-300, ARX-400 MHz or a ARX-600Associated. ^1H NMR spectra data were reported as δ values in ppm relative to chloroform (δ 7.26) if collected in $CDCl_3$. ^{13}C NMR spectra data were reported as δ values in ppm relative to chloroform (δ 77.00) if collected in $CDCl_3$. ^1H NMR coupling constants were reported in Hz, and multiplicity was indicated as follows: s (singlet); d (doublet); t (triplet); q (quartet); quint (quintet); m (multiplet);dd (doublet of doublets); ddd (doublet of doublet of doublets); dddd (doublet of doublet of doublet of doublets); dt (doublet of triplets); td (triplet of doublets); ddt (doublet of doublet of triplets); dq (doublet of quartets); app (apparent); br (broad). Mass spectra were conducted at Micromass Q-Tof instrument (ESI) and Agilent Technologies 5973N (EI). All reactions were carried out in flame-dried 25-mL Schlenk tubes with Teflon screw caps under nitrogen.

2 Optimization of the Reaction Condition

Table S1. Optimization of reaction conditions[a]

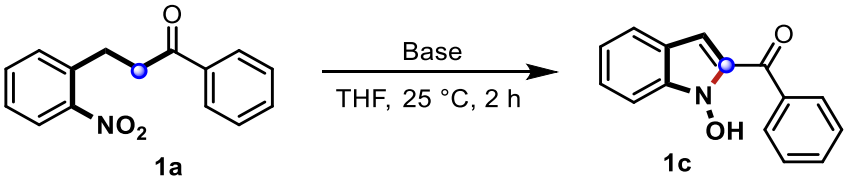


Entry	Base (equiv.)	Solvent (1.0 mL)	Temp. (°C)	Yield[d](%) **1a**	**1b**
1	NaOMe (3.0)	EtOH	90	45	N.D.
2	EtONa (3.0)	EtOH	90	39	N.D.
3	Na_3PO_4 (3.0)	EtOH	90	54	N.D.
4	K_3PO_4 (3.0)	EtOH	90	**80**	N.D.
5	K_3PO_4 (3.0)	EtOH	70	64	23

6	KOMe (3.0)	EtOH	70	51	trace
7	KO*t*Bu (3.0)	EtOH	70	14	trace
8	KOH (3.0)	EtOH	70	19	trace
9	K_2CO_3 (3.0)	EtOH	70	37	42
10	K_2CO_3 (3.0)	acetone	70	31	36
11	K_2CO_3 (3.0)	sulfolane	70	16	28
12	K_2CO_3 (3.0)	EtOAc	70	17	11
13	K_2CO_3 (3.0)	CYC	70	29	46
14	K_2CO_3 (4.0)	CYC	70	27	55
15[b]	K_3PO_4 (4.0)	CYC	70	15	72
16[b,c]	K_2CO_3 (4.0)	CYC	70	8	**79**

[a]Reaction conditions: *o*-Nitrodihydrochalcones **1a** (0.2 mmol), S_8 (0.1 mmol), base (0.6 mmol), solvent (1.0 mL), 70-90 °C, 12 h, air. [b]N_2 atmosphere. [c]Using S_8 (0.05 mmol). [d]isolated yields. CYC = cyclohexanone

Table S2. Optimization of reaction conditions for *N*-hydroxyindoles[a]



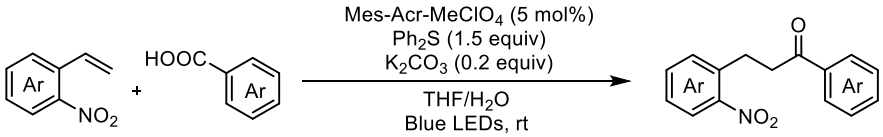
Entry[a]	Base (equiv.)	Solvent (1.0 mL)	Temp. (°C)	Time (h)	Yield[c](%)
1	K_3PO_4 (2.0)	THF	25	2	46
2	*t*BuOLi (2.0)	THF	25	2	36
3	*t*BuOK (2.0)	THF	25	2	32
4	MeONa (2.0)	THF	25	2	50
5	EtOK (2.0)	THF	25	2	56
6	Cs_2CO_3 (2.0)	THF	25	2	trace
7	Na_2CO_3 (2.0)	THF	25	2	trace
8	*t*BuONa (3.0)	THF	25	2	80
9	EtONa (3.0)	THF	25	2	85
10	EtOK (3.0)	THF	25	2	80
11	CH_3ONa (3.0)	THF	25	2	78
12	EtONa (2.0)	THF	25	2	82
13	EtONa (2.0)	2Me-THF	25	2	**80**

14	EtONa (2.0)	EtOH	25	2	55
15	EtONa (2.0)	CYC	25	2	72

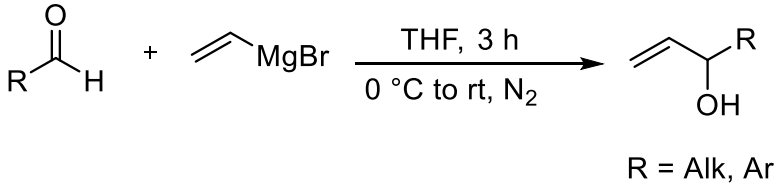
[a] Reaction conditions: **1a** (0.2 mmol), base (0.6-0.8 mmol), Me-THF (1.0 mL), 25 °C, 2 h.

3 Experiment Procedures

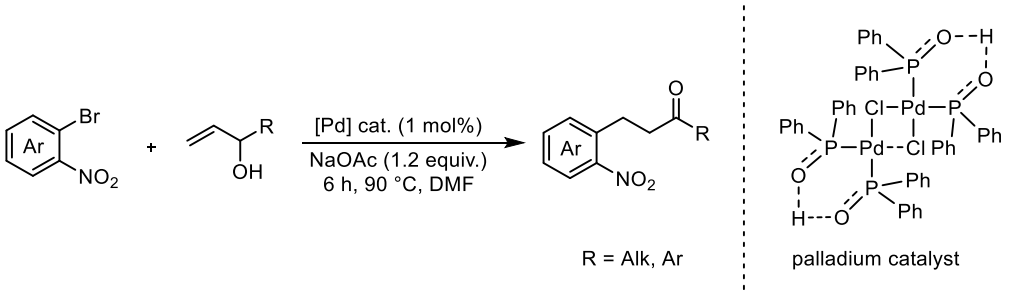
General procedure for substrates



The corresponding benzoic acid (1.0 equiv, 2.0 mmol), Styrene (1.2 equiv, 2.4 mmol), Mes-Acr-MeClO$_4$ (5 mol%), Ph_2S (1.5 equiv, 3.0 mmol), and K_2CO_3 (0.2 equiv, 0.04 mmol) were dissolved in 2 mL THF/H_2O (v/v= 4:1) in a reaction tube. The reaction mixture was irradiated under 50 W blue LED at room temperature. After the reaction was completed (monitored by TLC), an appropriate amount of water was added to the mixture, and the mixed solution was extracted with ethyl acetate (5 mL × 3). The combined organic phases were dried over anhydrous Na_2SO_4, filtered, concentrated in vacuum and the crude product was obtained. The pure product was obtained by silica gel chromatography using petroleum ether/ethyl acetate as eluent.

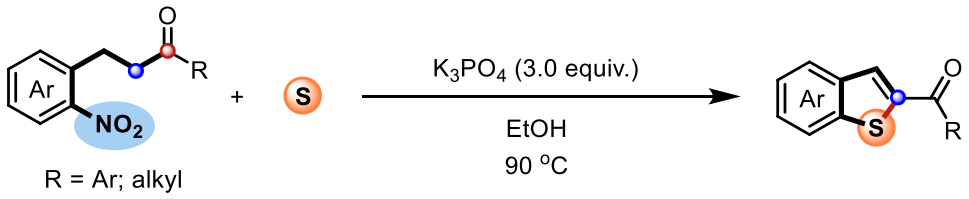


To a stirred solution of aldehyde (4.0 mmol) in THF (10 mL) was slowly added vinylmagnesium bromide solution (1.0 M in THF, 8 mL, 2 equiv.) at 0 °C under nitrogen. The solution was stirred at at 0 °C for 15 min and then at room temperature for 3 h. The resulting solution was quenched with saturated $NaHCO_3$ aqueous solution (5 mL). The mixture was extracted with DCM (3 × 10 mL). The combined organic layers were successively washed with brine, dried over $MgSO_4$, and concentrated under vacuum. Purification by flash column chromatography on silica gel in ethyl acetate and hexane gave vinyl alcohols.



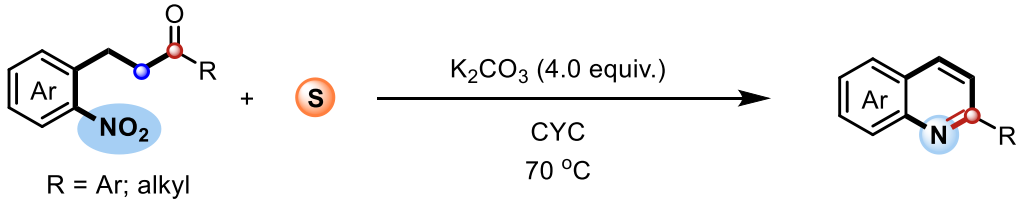
A 25 mL of Schleck tube was added Aryl bromide (1 mmol), vinyl alcohols (1.1 mmol), NaOAc (98 mg, 1.2 mmol) and catalyst (1 mol%) in DMF (3 mL) and the reaction mixture was heated for 6 h, in a 90 °C silicon oil bath, under N_2 with stirring. Then, the mixture was cooled to rt, diluted with EA (15 mL), washed with brine (3 × 10 mL), dried over $MgSO_4$ and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography in ethyl acetate and petroleum ether.

General procedure for the preparing of thiophene derivatives



A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (0.2 mmol), S_8 (25.6 mg, 0.1 mmol), K_3PO_4 (127.2 mg, 0.6 mmol) and EtOH (1.0 mL) were added, and the reaction mixture was stirred at 90 ℃ for 12 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.

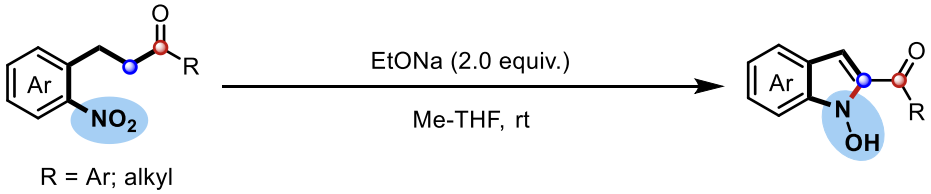
General procedure for the preparing of quinolines derivatives



A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (0.2 mmol), sulfur (12.8 mg, 0.05 mmol), K_2CO_3 (170 mg, 0.8

mmol) and CYC (0.5 mL) were added, and the reaction mixture was stirred in cyclohexanone at 70 ℃ under N_2 for 12 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.

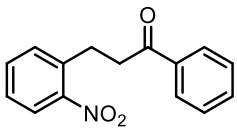
General procedure for the preparing of *N*-OH indole derivatives



R = Ar; alkyl

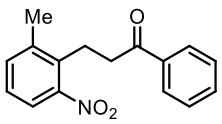
A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (0.2 mmol), EtONa (27 mg, 0.4 mmol) and Me-THF (1.0 mL) were added, and the reaction mixture was stirred at room temperature for 2 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.

4 Characterization Data of Substrates and Products



3-(2-nitrophenyl)-1-phenylpropan-1-one (1)

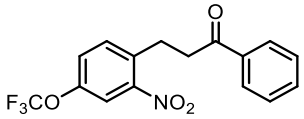
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 – 7.85 (m, 3H), 7.63 – 7.52 (m, 2H), 7.51 – 7.42 (m, 3H), 7.41 – 7.34 (m, 1H), 3.49 – 3.37 (m, 2H), 3.36 – 3.24 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.5, 149.3, 136.5, 133.2, 132.6, 128.6, 128.1, 127.5, 124.9, 39.4, 27.8.



3-(2-Methyl-6-nitrophenyl)-1-phenylpropan-1-one (2)

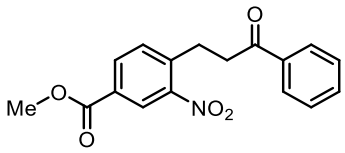
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 – 7.93 (m, 2H), 7.66 (d, *J* = 8.1 Hz, 1H), 7.60 – 7.55 (m, 1H), 7.47 (t, *J* = 7.6 Hz, 2H), 7.42 (d, *J* = 7.5 Hz, 1H), 7.29 – 7.24 (m, 1H),

3.40 – 3.32 (m, 2H), 3.21 – 3.13 (m, 2H), 2.44 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.7, 139.4, 135.2, 134.8, 134.1, 133.9, 133.4, 128.8, 128.3, 127.0, 122.4, 38.2, 24.0, 19.9.



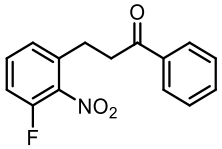
3-(2-Nitro-4-(trifluoromethoxy)phenyl)-1-phenylpropan-1-one (6)

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.98 – 7.92 (m, 2H), 7.86 – 7.81 (m, 1H), 7.57 (t, *J* = 8.1 Hz, 2H), 7.49 – 7.38 (m, 3H), 3.45 – 3.38 (m, 2H), 3.38 – 3.32 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.2, 147.7, 136.6, 135.4, 134.4, 133.5, 128.8, 128.2, 125.7, 121.7, 119.1, 117.8, 39.2, 27.3. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -58.1.



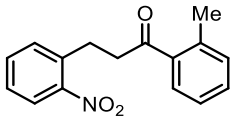
Methyl 3-nitro-4-(3-oxo-3-phenylpropyl)benzoate (7)

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 – 8.55 (m, 1H), 8.20 – 8.13 (m, 1H), 7.98 – 7.92 (m, 2H), 7.62 – 7.52 (m, 2H), 7.45 (t, *J* = 7.7 Hz, 2H), 3.95 (s, 3H), 3.44 – 3.35 (m, 4H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.1, 165.0, 149.5, 141.4, 136.5, 133.7, 133.5, 133.1, 130.0, 128.8, 128.2, 126.2, 52.8, 39.2, 27.8.



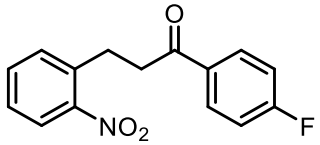
3-(3-Fluoro-2-nitrophenyl)-1-phenylpropan-1-one (10)

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 – 7.90 (m, 2H), 7.62 – 7.53 (m, 1H), 7.50 – 7.37 (m, 3H), 7.23 (d, *J* = 7.8 Hz, 1H), 7.16 – 7.08 (m, 1H), 3.35 (t, *J* = 7.4 Hz, 2H), 3.13 (t, *J* = 7.4 Hz, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 197.9, 155.3, 152.7 (d, *J* = 17.0 Hz), 136.4 (d, *J* = 16.9 Hz), 133.5, 132.1 (d, *J* = 8.3 Hz), 130.0, 128.8, 128.2, 126.6 (d, *J* = 3.2 Hz), 115.2 (d, *J* = 19.2 Hz), 39.3, 25.9. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -123.4.



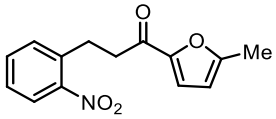
3-(2-Nitrophenyl)-1-(*o*-tolyl)propan-1-one (14)

^{1}H NMR (400 MHz, CDCl$_3$) δ 7.96 – 7.91 (m, 1H), 7.66 – 7.61 (m, 1H), 7.56 – 7.50 (m, 1H), 7.48 – 7.43 (m, 1H), 7.40 – 7.33 (m, 2H), 7.27 – 7.20 (m, 2H), 3.31 (s, 4H), 2.49 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 202.4, 149.4, 138.3, 137.5, 136.6, 133.3, 132.6, 132.1, 131.6, 128.7, 127.5, 125.8, 125.0, 42.2, 28.0, 21.5.



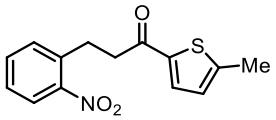
1-(4-Fluorophenyl)-3-(2-nitrophenyl)propan-1-one (18)

^{1}H NMR (400 MHz, CDCl$_3$) δ 8.03 – 7.93 (m, 3H), 7.58 – 7.51 (m, 1H), 7.50 – 7.44 (m, 1H), 7.41 – 7.35 (m, 1H), 7.16 – 7.08 (m, 2H), 3.47 – 3.20 (m, 4H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 196.9, 167.1, 164.6, 149.3, 136.4, 133.3, 132.6, 130.7 (d, J = 9.3 Hz), 127.5, 124.9, 115.8 (d, J = 21.9 Hz), 39.4, 27.8. **^{19}F NMR (376 MHz, CDCl$_3$)** δ -105.0.



1-(5-Methylfuran-2-yl)-3-(2-nitrophenyl)propan-1-one (22)

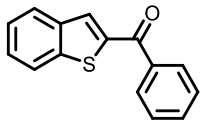
^{1}H NMR (400 MHz, CDCl$_3$) δ 7.97 – 7.90 (m, 1H), 7.54 – 7.48 (m, 1H), 7.46 – 7.42 (m, 1H), 7.38 – 7.32 (m, 1H), 7.12 (d, J = 3.5 Hz, 1H), 6.17 – 6.10 (m, 1H), 3.36 – 3.23 (m, 2H), 3.21 – 3.13 (m, 2H), 2.36 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 187.0, 158.1, 151.2, 149.4, 136.4, 133.4, 132.7, 127.6, 125.0, 119.6, 109.1, 38.9, 28.0, 14.2.



1-(5-Methylthiophen-2-yl)-3-(2-nitrophenyl)propan-1-one (23)

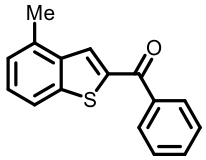
^{1}H NMR (400 MHz, CDCl$_3$) δ 8.07 – 7.86 (m, 1H), 7.55 – 7.48 (m, 2H), 7.47 – 7.43 (m, 1H), 7.39 – 7.32 (m, 1H), 6.87 – 6.73 (m, 1H), 3.45 – 3.10 (m, 4H), 2.51 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 191.2, 150.1, 149.3, 141.7, 136.3, 133.4, 132.9, 132.7,

127.6, 126.9, 124.9, 39.6, 28.3, 16.1.



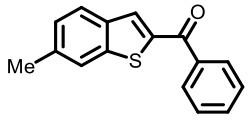
Benzo[*b*]thiophen-2-yl(phenyl)methanone (1a)[2]

Yellow liquid (38.1 mg, 80%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 8.01 – 7.82 (m, 5H), 7.71 – 7.59 (m, 1H), 7.57 – 7.51 (m, 2H), 7.50 – 7.46 (m, 1H), 7.44 – 7.39 (m, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 189.8, 143.2, 142.8, 139.2, 137.9, 132.6, 132.4, 129.4, 128.6, 127.6, 126.2, 125.1, 123.0



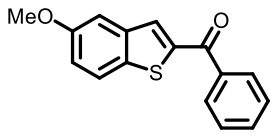
(4-Methylbenzo[*b*]thiophen-2-yl)(phenyl)methanone (2a)

Yellow liquid (43.8 mg, 87%). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.01 – 7.86 (m, 3H), 7.75 (d, *J* = 8.1 Hz, 1H), 7.67 – 7.61 (m, 1H), 7.58 – 7.51 (m, 2H), 7.43 – 7.36 (m, 1H), 7.23 – 7.17 (m, 1H), 2.62 (s, 3H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 189.8, 143.1, 142.5, 139.0, 138.2, 135.8, 132.6, 130.5, 129.4, 128.7, 127.8, 125.6, 120.6, 19.7. **HR-MS** (ESI) $C_{16}H_{12}OS$ $[M+H]^+$: 253.0682, found: 253.0683.



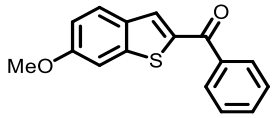
(6-Methylbenzo[*b*]thiophen-2-yl)(phenyl)methanone (3a)

Yellow liquid (42.8 mg, 85%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.04 – 7.87 (m, 2H), 7.80 (s, 1H), 7.75 (d, *J* = 8.2 Hz, 1H), 7.69 (s, 1H), 7.64 – 7.57 (m, 1H), 7.56 – 7.49 (m, 2H), 7.23 (d, *J* = 6.7 Hz, 1H), 2.50 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.8, 143.3, 142.2, 138.2, 138.1, 137.0, 132.4, 129.3, 128.6, 127.1, 125.8, 122.7, 22.0. **HR-MS** (ESI) $C_{16}H_{12}OS$ $[M+H]^+$: 253.0682, found: 253.0684.



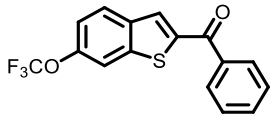
(5-Methoxybenzo[*b*]thiophen-2-yl)(phenyl)methanone (4a)[2]

White solid (37.5 mg, 70%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.01 (s, 1H), 7.92 (d, *J* = 7.2 Hz, 2H), 7.66 – 7.59 (m, 1H), 7.57 – 7.50 (m, 2H), 7.50 – 7.39 (m, 2H), 6.77 (d, *J* = 7.7 Hz, 1H), 3.95 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 189.8, 156.9, 144.6, 141.8, 138.1, 132.4, 130.5, 129.5, 129.4, 129.1, 128.6, 115.7, 104.3, 55.6.



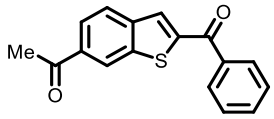
(6-Methoxybenzo[*b*]thiophen-2-yl)(phenyl)methanone (5a)[3]

Yellow liquid (38.6 mg, 72%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.89 (d, *J* = 6.8 Hz, 2H), 7.77 (s, 1H), 7.73 (d, *J* = 8.8 Hz, 1H), 7.64 – 7.58 (m, 1H), 7.56 – 7.48 (m, 2H), 7.35 – 7.31 (m, 1H), 7.06 – 6.99 (m, 1H), 3.91 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 189.5, 160.1, 145.2, 141.0, 138.2, 133.2, 132.6, 132.3, 129.2, 128.6, 127.1, 116.3, 104.5, 55.8.



Phenyl(6-(trifluoromethoxy)benzo[*b*]thiophen-2-yl)methanone (6a)

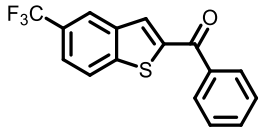
Yellow liquid (40.6 mg, 63%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.97 – 7.84 (m, 4H), 7.78 (s, 1H), 7.68 – 7.62 (m, 1H), 7.59 – 7.52 (m, 2H), 7.30 (d, *J* = 8.8, 2.2, 1.0 Hz, 1H). ^{13}C NMR (101 MHz, CDCl$_3$) δ 189.3, 148.6, 144.6, 143.5, 137.6, 132.9, 131.4, 129.4, 128.8, 127.3, 121.9, 119.3, 115.0. **^{19}F NMR (376 MHz, CDCl$_3$)** δ -57.7. **HR-MS** (ESI) $C_{16}H_9F_3O_2S$ $[M+H]^+$: 323.0348, found: 323.0348.



1-(2-Benzoylbenzo[*b*]thiophen-6-yl)ethan-1-one (7a)

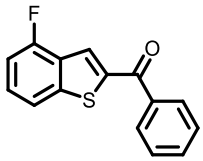
Yellow liquid (26.9 mg, 48%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.53 (s, 1H), 8.04 – 7.99

(m, 1H), 7.97 – 7.92 (m, 3H), 7.90 (s, 1H), 7.69 – 7.63 (m, 1H), 7.59 – 7.52 (m, 2H), 2.72 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 197.5, 189.3, 142.3, 137.6, 135.8, 133.0, 131.3, 130.7, 129.5, 128.8, 126.2, 124.6, 124.1, 27.0. **HR-MS** (ESI) $C_{17}H_{12}O_2S$ $[M+H]^+$: 281.0631, found: 281.0633.



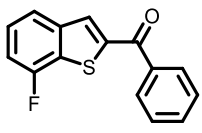
Phenyl(5-(trifluoromethyl)benzo[*b*]thiophen-2-yl)methanone (8a)

Yellow liquid (31.8 mg, 52%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.21 (s, 1H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.96 – 7.88 (m, 3H), 7.71 – 7.61 (m, 2H), 7.61 – 7.50 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.3, 145.4, 145.3, 138.7, 137.5, 133.0, 131.8, 129.5, 128.8, 127.9 (q, J = 32.7 Hz), 124.3 (q, J = 272.1 Hz), 123.8, 123.6 (q, *J* = 3.3 Hz), 123.3 (q, *J* = 4.3 Hz). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -61.9. **HR-MS** (ESI) $C_{16}H_9F_3OS$ $[M+H]^+$: 307.0399, found: 307.0405.



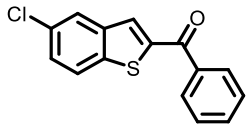
(4-Fluorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (9a)

Yellow liquid (35.8 mg, 70%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.99 – 7.89 (m, 3H), 7.74 – 7.61 (m, 2H), 7.60 – 7.52 (m, 2H), 7.49 – 7.40 (m, 1H), 7.14 – 6.98 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.4, 159.1 (d, *J* = 255.3 Hz), 144.8, 144.7, 143.7, 137.6, 132.9, 128.9, 128.8, 128.7, 126.9, 118.9 (d, *J* = 4.3 Hz), 109.9 (d, *J* = 18.5 Hz). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -115.7. **HR-MS** (ESI) $C_{15}H_9FOS$ $[M+H]^+$: 257.0431, found: 257.0431.



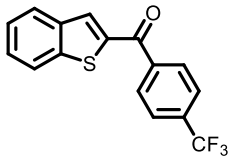
(7-Fluorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (10a)

Yellow liquid (31.7 mg, 62%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.96 – 7.90 (m, 2H), 7.88 (d, *J* = 3.5 Hz, 1H), 7.71 – 7.62 (m, 2H), 7.58 – 7.51 (m, 2H), 7.44 – 7.36 (m, 1H), 7.22 – 7.14 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.5, 157.7 (d, *J* = 248.9 Hz), 144.4, 142.3, 137.7, 132.9, 131.9 (d, *J* = 2.4 Hz), 129.4, 128.8, 126.6, 126.5, 122.0 (d, *J* = 3.9 Hz), 112.3 (d, *J* = 18.5 Hz). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -114.4. **HR-MS** (ESI) $C_{15}H_9FOS$ $[M+H]^+$: 257.0431, found: 257.0429.



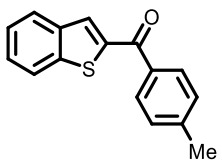
(5-Chlorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (11a)[2]

White solid (16.8 mg, 31%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.94 – 7.88 (m, 2H), 7.87 – 7.81 (m, 2H), 7.78 (s, 1H), 7.67 – 7.62 (m, 1H), 7.58 – 7.51 (m, 2H), 7.48 – 7.40 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.5, 145.0, 140.8, 140.2, 137.6, 132.9, 131.4, 131.0, 129.4, 128.7, 128.0, 125.4, 124.1.



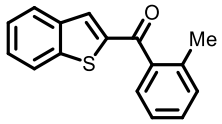
Benzo[*b*]thiophen-2-yl(4-(trifluoromethyl)phenyl)methanone (12a)[2]

Yellow solid (33.0 mg, 54%). **^{1}H NMR (500 MHz, $CDCl_3$)** δ 8.01 (d, *J* = 8.8 Hz, 2H), 7.96 – 7.87 (m, 2H), 7.86 – 7.78 (m, 3H), 7.54 – 7.49 (m, 1H), 7.47 – 7.38 (m, 1H). **^{13}C NMR (126 MHz, $CDCl_3$)** δ 188.7, 143.1, 142.6, 141.1, 139.1, 134.01 (q, *J* = 32.7 Hz), 133.0, 129.6, 128.1, 126.4, 125.7 (q, *J* = 3.6 Hz), 125.4, 123.8 (q, *J* = 273.0 Hz), 123.1. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -63.0.



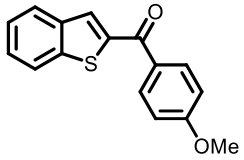
Benzo[*b*]thiophen-2-yl(*p*-tolyl)methanone (13a)[2]

Yellow solid (40.3 mg, 80%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.93 – 7.79 (m, 5H), 7.50 – 7.36 (m, 2H), 7.35 – 7.27 (m, 2H), 2.45 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.4, 143.43, 143.40, 142.7, 139.2, 135.3, 131.9, 129.6, 129.3, 127.4, 126.1, 125.1, 123.0, 21.8.



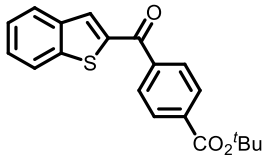
Benzo[*b*]thiophen-2-yl(*o*-tolyl)methanone (14a)[2]

Yellow solid (32.8 mg, 65%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.91 (d, *J* = 9.2 Hz, 1H), 7.83 (d, *J* = 8.1 Hz, 1H), 7.63 (s, 1H), 7.54 – 7.36 (m, 4H), 7.36 – 7.26 (m, 2H), 2.42 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 192.1, 144.5, 143.3, 139.2, 138.3, 136.8, 133.2, 131.3, 130.7, 128.3, 127.8, 126.3, 125.4, 125.2, 123.2, 19.9.



Benzo[*b*]thiophen-2-yl(2-methoxyphenyl)methanone (15a)[2]

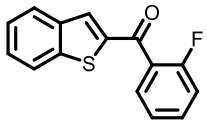
White solid (31.0 mg, 58%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.04 – 7.75 (m, 5H), 7.55 – 7.36 (m, 2H), 7.02 (d, *J* = 8.8 Hz, 2H), 3.91 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 188.3, 163.5, 143.5, 142.5, 139.2, 131.9, 131.3, 130.6, 127.3, 126.0, 125.1, 123.0, 114.0, 55.7.



***tert*-Butyl 4-(benzo[*b*]thiophene-2-carbonyl)benzoate (16a)**

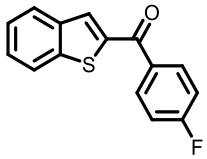
Yellow liquid (31.1 mg, 46%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.18 – 8.10 (m, 2H), 7.96 – 7.90 (m, 3H), 7.87 (d, *J* = 8.0 Hz, 1H), 7.84 – 7.80 (m, 1H), 7.55 – 7.47 (m, 1H), 7.45 – 7.38 (m, 1H), 1.63 (s, 9H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.3, 165.0, 143.0,

142.9, 141.2, 139.1, 135.4, 132.8, 129.7, 129.1, 127.9, 126.3, 125.3, 123.1, 82.0, 28.3. **HR-MS** (ESI) $C_{20}H_{18}O_3S$ $[M+H]^+$: 339.1049, found: 339.1052.



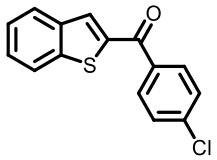
Benzo[*b*]thiophen-2-yl(2-fluorophenyl)methanone (17a)[4]

White solid (34.3 mg, 67%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.90 (d, *J* = 8.1 Hz, 1H), 7.85 (d, *J* = 9.0 Hz, 1H), 7.79 – 7.73 (m, 1H), 7.67 – 7.60 (m, 1H), 7.59 – 7.52 (m, 1H), 7.51 – 7.43 (m, 1H), 7.43 – 7.36 (m, 1H), 7.34 – 7.27 (m, 1H), 7.25 – 7.18 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 186.7, 159.9 (d, *J* = 252.7 Hz), 143.4 (d, *J* = 28.4 Hz), 139.1, 133.3, 133.2, 133.12, 133.10, 130.4 (d, *J* = 2.8 Hz), 127.9, 126.4, 125.2, 124.4 (d, *J* = 3.7 Hz), 123.2, 116.7 (d, *J* = 21.4 Hz). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -112.3.



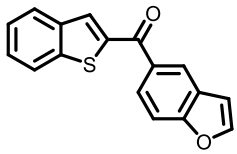
Benzo[*b*]thiophen-2-yl(4-fluorophenyl)methanone (18a)[2]

Yellow solid (36.3 mg, 71%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.00 – 7.94 (m, 2H), 7.93 – 7.87 (m, 2H),7.84 (s, 1H), 7.54 – 7.46 (m, 1H), 7.46 – 7.39 (m, 1H), 7.25 – 7.17 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 188.2, 165.6 (d, *J* = 254.2 Hz), 142.9, 142.8, 139.1, 134.2 (d, *J* = 2.8 Hz), 132.1, 132.0 (d, *J* = 9.2 Hz), 127.7, 126.2, 125.3, 123.0, 115.9 (d, *J* = 21.9 Hz). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -105.7.



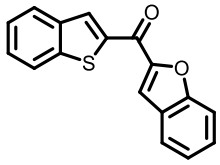
Benzo[*b*]thiophen-2-yl(4-chlorophenyl)methanone (19a)[2]

White solid (28.8 mg, 53%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.97 – 7.81 (m, 5H), 7.56 – 7.47 (m, 3H), 7.46 – 7.39 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 188.5, 142.9, 142.8, 139.11, 139.09, 136.2, 132.3, 130.8, 129.0, 127.8, 126.2, 125.3, 123.1.



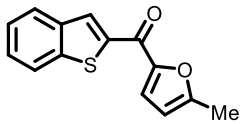
Benzo[*b*]thiophen-2-yl(benzofuran-5-yl)methanone (20a)

Yellow liquid (28.9 mg, 52%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.23 (s, 1H), 7.96 – 7.86 (m, 4H), 7.78 – 7.71 (m, 1H), 7.63 (d, *J* = 8.5 Hz, 1H), 7.53 – 7.37 (m, 2H), 6.94 – 6.87 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.5, 157.4, 146.7, 143.5, 142.7, 139.2, 133.2, 132.1, 127.6, 127.5, 126.2, 126.1, 125.2, 123.6, 123.0, 111.7, 107.4. **HR-MS** (ESI) $C_{17}H_{10}O_2S$ $[M+H]^+$: 279.0474, found: 279.0472.



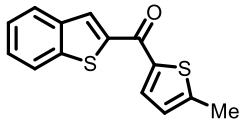
Benzo[*b*]thiophen-2-yl(benzofuran-2-yl)methanone (21a)[5]

Yellow liquid (30.0 mg, 54%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.59 (s, 1H), 7.99 (d, *J* = 7.9 Hz, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.81 – 7.73 (m, 2H), 7.72 – 7.66 (m, 1H), 7.58 – 7.41 (m, 3H), 7.41 – 7.32 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 176.4, 156.0, 152.6, 142.7, 142.1, 139.5, 131.9, 128.5, 127.8, 127.1, 126.5, 125.2, 124.2, 123.5, 122.9, 115.1, 112.6.



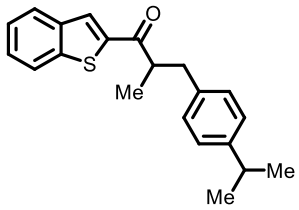
Benzo[*b*]thiophen-2-yl(5-methylfuran-2-yl)methanone (22a)[5]

Yellow liquid (24.2 mg, 50%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.35 (s, 0H), 8.05 – 7.78 (m, 1H), 7.64 – 7.34 (m, 1H), 6.27 (d, *J* = 4.5 Hz, 0H), 2.51 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 174.2, 158.4, 151.2, 142.4, 142.3, 139.4, 130.4, 127.3, 126.1, 125.0, 122.9, 121.5, 109.6, 14.4.



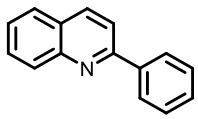
Benzo[*b*]thiophen-2-yl(5-methylthiophen-2-yl)methanone (23a)[5]

Yellow liquid (28.4 mg, 55%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.09 (s, 1H), 7.93 – 7.87 (m, 2H), 7.81 (d, *J* = 3.8 Hz, 1H), 7.52 – 7.36 (m, 2H), 6.89 (d, *J* = 3.8 Hz, 1H), 2.59 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 179.8, 150.4, 142.7, 142.2, 140.6, 139.1, 134.5, 129.9, 127.3, 127.0, 126.0, 125.1, 122.9, 16.1.



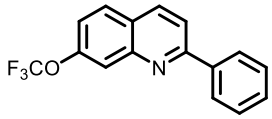
1-(Benzo[*b*]thiophen-2-yl)-3-(4-isopropylphenyl)-2-methylpropan-1-one (24a)

Yellow liquid (17.4 mg, 27%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 7.96 – 7.79 (m, 3H), 7.52 – 7.34 (m, 2H), 7.18 – 7.06 (m, 4H), 3.77 – 3.59 (m, 1H), 3.23 – 3.11 (m, 1H), 2.93 – 2.68 (m, 2H), 1.29 (d, *J* = 6.9 Hz, 3H), 1.19 (d, *J* = 6.9 Hz, 6H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 198.4, 147.0, 143.6, 142.7, 139.3, 137.0, 129.1, 129.0, 127.5, 126.6, 126.1, 125.1, 123.1, 44.8, 39.5, 33.8, 24.1, 17.8. **HR-MS** (ESI) $C_{21}H_{22}OS$ $[M+H]^+$: 323.1464, found: 323.1467.



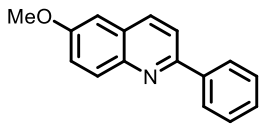
2-Phenylquinoline (1b)[6]

Yellow solid (32.4 mg, 79%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 8.22 (d, *J* = 8.6 Hz, 1H), 8.17 (t, *J* = 8.5 Hz, 3H), 7.88 (d, *J* = 8.6 Hz, 1H), 7.83 (d, *J* = 8.1 Hz, 1H), 7.73 (t, *J* = 7.7 Hz, 1H), 7.58 – 7.42 (m, 4H). **^{13}C NMR (101 MHz, CDCl$_3$)** *δ* 157.3, 148.2, 139.6, 136.8, 129.69, 129.66, 129.3, 128.8, 127.6, 127.5, 127.2, 126.3, 119.0.



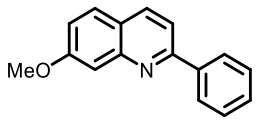
2-Phenyl-7-(trifluoromethoxy)quinoline (2b)

Yellow liquid (47.9 mg, 83%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.23 (d, *J* = 8.7 Hz, 1H), 8.21 – 8.13 (m, 2H), 8.02 (s, 1H), 7.91 (d, *J* = 8.7 Hz, 1H), 7.86 (d, *J* = 8.9 Hz, 1H), 7.59 – 7.46 (m, 3H), 7.42 – 7.35 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 158.8, 150.0, 148.8, 139.2, 136.7, 129.9, 129.4, 129.1, 127.8, 125.6, 120.5, 119.8, 119.4. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -57.6. **HR-MS** (ESI) $C_{16}H_{10}F_3N$ $[M+H]^+$: 290.0787, found: 290.0791.



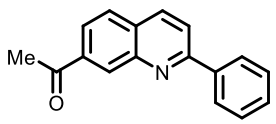
6-Methoxy-2-phenylquinoline (3b)[7]

White solid (39.5 mg, 84%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.19 – 8.00 (m, 4H), 7.83 (d, *J* = 8.6 Hz, 1H), 7.55 – 7.49 (m, 2H), 7.47 – 7.42 (m, 1H), 7.42 – 7.35 (m, 1H), 7.09 (d, *J* = 2.8 Hz, 1H), 3.95 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 157.8, 155.2, 144.5, 140.4, 135.2, 131.3, 129.1, 128.9, 128.3, 127.4, 123.0, 119.4, 105.1, 55.7.



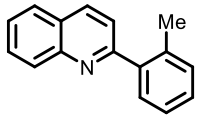
7-**Methoxy-2-phenylquinoline (4b)**[8]

Yellow liquid (37.6 mg, 80%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.17 – 8.13 (m, 2H), 8.09 (d, *J* = 9.2 Hz, 1H), 7.74 – 7.65 (m, 2H), 7.57 – 7.50 (m, 3H), 7.50 – 7.42 (m, 1H), 7.18 (dd, *J* = 8.9, 2.5 Hz, 1H), 3.97 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 160.9, 157.7, 150.0, 139.9, 136.4, 129.2, 128.9, 128.5, 127.6, 122.4, 119.5, 116.9, 107.7, 55.6.



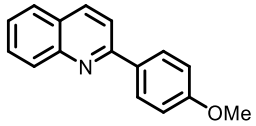
1-(2-Phenylquinolin-7-yl)ethan-1-one (5b)[7]

Yellow liquid (28.6 mg, 58%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.75 (s, 1H), 8.26 (d, *J* = 8.7 Hz, 1H), 8.21 – 8.15 (m, 2H), 8.13 – 8.08 (m, 1H), 7.98 (d, *J* = 8.7 Hz, 1H), 7.89 (d, *J* = 8.5 Hz, 1H), 7.59 – 7.47 (m, 3H), 2.78 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.3, 158.6, 148.0, 139.2, 137.9, 136.7, 131.9, 129.9, 129.1, 128.1, 127.7, 124.3, 121.1, 26.9.



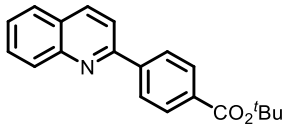
2-(*o*-Tolyl)quinoline (6b)[9]

White solid (30.2 mg, 69%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.22 (d, *J* = 7.7 Hz, 1H), 8.17 (d, *J* = 8.4 Hz, 1H), 7.87 (d, *J* = 8.1 Hz, 1H), 7.78 – 7.71 (m, 1H), 7.62 – 7.47 (m, 3H), 7.37 – 7.29 (m, 3H), 2.42 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 160.4, 148.0, 140.9, 136.2, 131.0, 129.8, 129.8, 128.6, 127.6, 126.9, 126.5, 126.1, 122.5, 20.5.



2-(4-Methoxyphenyl)quinoline (7b)[6]

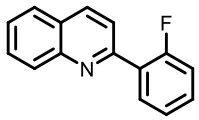
White solid (29.1 mg, 62%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.24 – 8.09 (m, 4H), 7.88 – 7.77 (m, 2H), 7.76 – 7.67 (m, 1H), 7.54 – 7.46 (m, 1H), 7.06 (d, *J* = 9.0 Hz, 2H), 3.89 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 161.0, 157.0, 148.3, 136.9, 132.2, 129.8, 129.5, 129.1, 127.6, 127.0, 126.1, 118.7, 114.4, 55.5.



***tert*-Butyl 4-(quinolin-2-yl)benzoate (8b)**

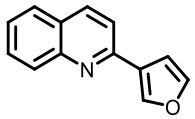
Yellow liquid (26.8 mg, 51%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.27 – 8.21 (m, 3H), 8.20 – 8.17 (m, 1H), 8.16 – 8.11 (m, 2H), 7.93 – 7.88 (m, 1H), 7.86 – 7.81 (m, 1H), 7.79 – 7.72 (m, 1H), 7.59 – 7.51 (m, 1H), 1.64 (s, 9H). **^{13}C NMR (101 MHz, $CDCl_3$)**

δ 165.7, 156.3, 148.4, 143.4, 137.1, 132.7, 130.04, 129.98, 127.6, 127.5, 127.4, 126.8, 119.1, 81.3, 28.4. **HR-MS** (ESI) $C_{20}H_{19}NO_2$ $[M+H]^+$: 306.1489, found: 306.1488.



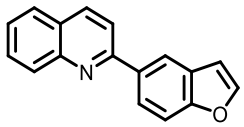
2-(2-Fluorophenyl)quinoline (9b)[9]

Yellow solid (24.1 mg, 54%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.27 – 8.16 (m, 2H), 8.12 – 8.05 (m, 1H), 7.93 – 7.82 (m, 2H), 7.78 – 7.71 (m, 1H), 7.60 – 7.53 (m, 1H), 7.46 – 7.40 (m, 1H), 7.36 – 7.29 (m, 1H), 7.24 – 7.13 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 162.1, 159.6, 154.2, 148.4, 136.4, 131.6 (d, *J* = 3.1 Hz), 131.0 (d, *J* = 8.6 Hz), 129.8 (d, *J* = 7.1 Hz), 128.0 (d, *J* = 12.3 Hz), 127.6, 127.4, 126.8, 124.8 (d, *J* = 3.5 Hz), 122.6 (d, *J* = 7.8 Hz), 116.4 (d, *J* = 22.6 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -117.3.



2-(Furan-3-yl)quinoline (10b)[10]

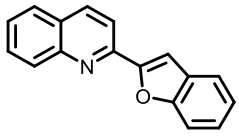
Yellow solid (18.3 mg, 47%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.18 – 8.06 (m, 3H), 7.81 – 7.75 (m, 1H), 7.70 (t, *J* = 7.0 Hz, 1H), 7.60 (d, *J* = 8.5 Hz, 1H), 7.57 – 7.53 (m, 1H), 7.53 – 7.46 (m, 1H), 7.14 – 7.09 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 151.8, 148.4, 144.1, 142.2, 136.7, 129.8, 129.4, 127.8, 127.6, 127.2, 126.1, 119.1, 109.2.



2-(Benzofuran-5-yl)quinoline (11b)

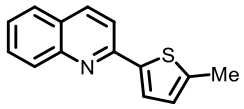
Yellow liquid (30.3 mg, 62%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.41 (d, *J* = 1.3 Hz, 1H), 8.24 – 8.17 (m, 2H), 8.17 – 8.09 (m, 1H), 7.91 (d, *J* = 8.6 Hz, 1H), 7.85 – 7.80 (m, 1H), 7.77 – 7.71 (m, 1H), 7.68 (d, *J* = 2.3 Hz, 1H), 7.66 – 7.62 (m, 1H), 7.56 – 7.49 (m, 1H), 6.90 – 6.86 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 157.8, 155.9, 148.4, 145.9, 136.9, 135.0, 129.79, 129.75, 128.2, 127.6, 127.1, 126.2, 124.4, 120.8, 119.3, 111.8, 107.3.

HR-MS (ESI) $C_{17}H_{11}NO$ $[M+H]^+$: 246.0913, found: 246.0913.



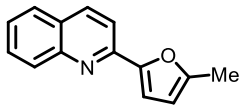
2-(Benzofuran-2-yl)quinoline (12b)[11]

Yellow solid (28.4 mg, 58%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.24 – 8.18 (m, 2H), 8.04 – 7.97 (m, 1H), 7.84 – 7.77 (m, 1H), 7.77 – 7.71 (m, 1H), 7.70 – 7.63 (m, 2H), 7.61 – 7.59 (m, 1H), 7.56 – 7.51 (m, 1H), 7.40 – 7.34 (m, 1H), 7.32 – 7.26 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 155.7, 155.2, 149.1, 148.3, 136.9, 130.1, 129.7, 128.9, 127.73, 127.69, 126.8, 125.6, 123.4, 121.9, 118.2, 111.9, 106.4.



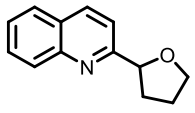
2-(5-Methylthiophen-2-yl)quinoline (13b)[12]

Yellow solid (22.9 mg, 51%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.11 – 8.02 (m, 2H), 7.78 – 7.63 (m, 3H), 7.53 (d, J = 3.6 Hz, 1H), 7.49 – 7.42 (m, 1H), 6.85 – 6.76 (m, 1H), 2.56 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 152.7, 148.3, 143.8, 143.0, 136.5, 129.8, 129.3, 127.6, 127.1, 126.5, 126.1, 125.9, 117.5, 15.9.



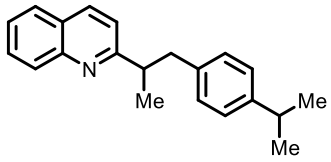
2-(5-Methylfuran-2-yl)quinoline (14b)[13]

White solid (22.9 mg, 55%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.22 – 8.02 (m, 2H), 7.86 – 7.73 (m, 2H), 7.71 – 7.58 (m, 1H), 7.53 – 7.35 (m, 1H), 7.11 (d, J = 3.3 Hz, 1H), 6.26 – 6.10 (m, 1H), 2.46 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 154.7, 152.3, 149.3, 148.3, 136.6, 129.8, 129.4, 127.6, 127.1, 126.0, 117.4, 111.7, 108.8, 14.2.



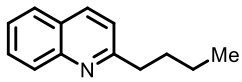
2-(Tetrahydrofuran-2-yl)quinoline (15b)[14]

Colorless oil (24.3 mg, 61%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.18 – 8.02 (m, 2H), 7.79 – 7.66 (m, 2H), 7.54 – 7.43 (m, 1H), 7.36 (d, *J* = 8.5 Hz, 1H), 4.31 – 4.20 (m, 1H), 4.20 – 4.11 (m, 1H), 4.11 – 4.03 (m, 1H), 4.01 – 3.90 (m, 1H), 3.89 – 3.72 (m, 1H), 2.58 – 2.40 (m, 1H), 2.40 – 2.20 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 162.9, 147.6, 137.0, 129.7, 129.0, 127.6, 127.1, 126.2, 120.1, 73.7, 68.9, 47.8, 33.6.



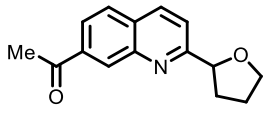
2-(1-(4-Isopropylphenyl)propan-2-yl)quinoline (16b)

Yellow liquid (36.4 mg, 63%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.07 (d, *J* = 8.5 Hz, 1H), 8.00 (d, *J* = 11.8 Hz, 1H), 7.71 – 7.61 (m, 1H), 7.55 – 7.48 (m, 1H), 7.44 – 7.36 (m, 1H), 7.22 – 7.07 (m, 5H), 4.00 – 3.81 (m, 1H), 3.38 – 3.26 (m, 1H), 3.02 – 2.77 (m, 2H), 1.41 (d, *J* = 6.6 Hz, 3H), 1.22 (d, *J* = 6.9 Hz, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 163.7, 147.1, 146.6, 138.2, 135.6, 135.5, 129.7, 129.4, 129.0, 127.2, 127.1, 126.5, 126.4, 42.1, 40.8, 33.8, 24.2, 19.6. **HR-MS** (ESI) $C_{21}H_{23}N$ $[M+H]^+$: 290.1903, found: 290.1908.



2-Butylquinoline (17b)[15]

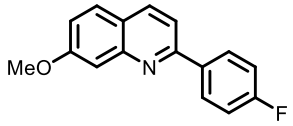
Colorless oil (16.6 mg, 45%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.13 – 8.05 (m, 2H), 7.82 – 7.77 (m, 1H), 7.74 – 7.67 (m, 1H), 7.54 – 7.47 (m, 1H), 7.33 (d, *J* = 8.4 Hz, 1H), 3.13 – 2.95 (m, 2H), 1.94 – 1.74 (m, 2H), 1.55 – 1.40 (m, 2H), 0.99 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 163.3, 147.8, 136.5, 129.6, 128.8, 127.6, 126.8, 125.8, 121.5, 39.1, 32.3, 22.8, 14.1.



1-(2-(Tetrahydrofuran-2-yl)quinolin-7-yl)ethan-1-one (18b)

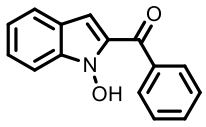
Colorless oil (30.3 mg, 63%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.62 (s, 1H), 8.14 (d, *J* =

8.5 Hz, 1H), 8.11 – 7.98 (m, 1H), 7.84 (d, 1H), 7.46 (d, J = 8.5 Hz, 1H), 4.30 – 4.22 (m, 1H), 4.21 – 4.12 (m, 1H), 4.13 – 4.03 (m, 1H), 4.03 – 3.91 (m, 1H), 3.89 – 3.70 (m, 1H), 2.76 (s, 3H), 2.59 – 2.43 (m, 1H), 2.43 – 2.25 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.2, 164.2, 147.4, 137.7, 136.6, 131.3, 129.7, 128.1, 124.0, 122.4, 73.5, 68.9, 47.8, 33.5, 26.9. **HR-MS** (ESI) $C_{15}H_{15}NO_2$ $[M+H]^+$: 242.1176, found: 242.1177.



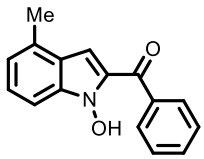
2-(4-Fluorophenyl)-7-methoxyquinoline (19b)[9]

Colorless oil (38.9 mg, 77%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 8.21 – 8.08 (m, 3H), 7.76 – 7.64 (m, 2H), 7.47 (d, J = 2.5 Hz, 1H), 7.25 – 7.12 (m, 3H), 3.98 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 163.8 (d, J = 247.4 Hz), 161.1, 156.7, 150.1, 136.7, 136.1, 129.5 (d, J = 8.4 Hz), 128.6, 122.4, 119.7, 116.7, 115.9 (d, J = 21.6 Hz), 107.6, 55.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -112.7.



(1-Hydroxy-1*H*-indol-2-yl)(phenyl)methanone (1c)

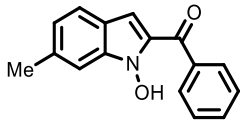
Yellow solid (37.9 mg, 80%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.72 (s, 1H), 8.04 – 7.99 (m, 3H), 7.62 – 7.53 (m, 5H), 7.45 – 7.35 (m, 3H), 7.17 – 7.11 (m, 2H), 7.04 – 7.02 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 190.1, 137.3, 133.9, 133.4, 133.2, 129.6, 128.8, 127.1, 123.3, 121.3, 109.9, 108.7, 100.1. **HR-MS** (ESI) $C_{15}H_{11}NO_2$ $[M+H]^+$: 238.0863, found: 238.0865.



(1-Hydroxy-4-methyl-1*H*-indol-2-yl)(phenyl)methanone (2c)

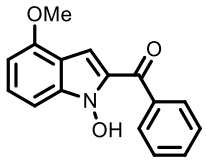
Yellow solid (31.1 mg, 62%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.80 (s, 1H), 8.06 – 7.99 (m, 2H), 7.71 – 7.63 (m, 1H), 7.60 – 7.54 (m, 2H), 7.42 (d, J = 8.5 Hz, 1H), 7.34 – 7.28

(m, 1H), 7.02 – 6.98 (m, 1H), 6.93 (d, J = 6.9 Hz, 1H), 2.53 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 189.8, 148.5, 137.0, 133.5, 129.6, 129.1, 128.9, 124.8, 122.0, 119.6, 119.4, 115.8, 108.6, 101.8. $C_{14}H_{23}N_2Si^+$ $(M+H)^+$ 247.1625, found 247.1625. **HR-MS** (ESI) $C_{16}H_{14}NO_2$ $[M+H]^+$: 252.1019, found: 252.1022.



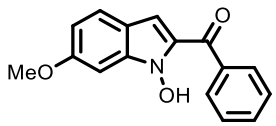
(1-Hydroxy-6-methyl-1*H*-indol-2-yl)(phenyl)methanone (3c)

Yellow liquid (31.6 mg, 63%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 11.79 (s, 1H), 8.02 – 7.96 (m, 2H), 7.65 (t, J = 7.4 Hz, 1H), 7.59 – 7.48 (m, 3H), 7.37 (s, 1H), 6.97 (t, J = 4.1 Hz, 1H), 2.51 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 189.8, 137.9, 137.4, 134.4, 133.0, 129.5, 128.7, 127.4, 123.8, 122.9, 119.5, 109.0, 108.9, 22.4. **HR-MS** (ESI) $C_{16}H_{13}NO_2$ $[M+H]^+$: 252.1019, found: 252.1019.



(1-Hydroxy-4-methoxy-1*H*-indol-2-yl)(phenyl)methanone (4c)

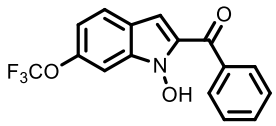
Yellow liquid (32.0 mg, 60%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 11.91 (s, 1H), 8.05 – 7.97 (m, 2H), 7.68 – 7.61 (m, 1H), 7.54 (t, J = 7.6 Hz, 2H), 7.35 – 7.28 (m, 1H), 7.19 – 7.12 (m, 2H), 6.45 (d, J = 7.6 Hz, 1H), 3.95 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 189.7, 154.9, 137.3, 135.0, 133.1, 129.6, 128.7, 128.4, 116.7, 114.6, 106.5, 102.6, 99.5, 55.5. **HR-MS** (ESI) $C_{16}H_{13}NO_3$ $[M+H]^+$: 268.0968, found: 268.0971.



(1-Hydroxy-6-methoxy-1*H*-indol-2-yl)(phenyl)methanone (5c)

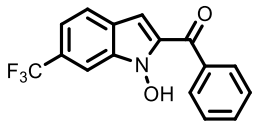
Yellow liquid (29.4 mg, 55%). **^{1}H NMR (400 MHz, CDCl$_3$)** δ 12.10 (s, 1H), 8.02 – 7.93 (m, 2H), 7.68 – 7.59 (m, 1H), 7.54 (t, J = 7.5 Hz, 2H), 7.48 (d, J = 8.9 Hz, 1H),

6.96 (s, 1H), 6.92 – 6.88 (m, 1H), 6.82 – 6.74 (m, 1H), 3.92 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.1, 160.4, 137.5, 135.0, 132.9, 129.4, 128.7, 127.0, 124.4, 116.2, 114.8, 109.5, 89.6, 55.7. **HR-MS** (ESI) $C_{16}H_{13}NO_3$ $[M+H]^+$: 268.0968, found: 268.0970.



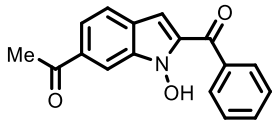
(1-Hydroxy-6-(trifluoromethoxy)-1*H*-indol-2-yl)(phenyl)methanone (6c)

Yellow solid (38.5 mg, 60%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.67 (s, 1H), 8.00 (d, *J* = 6.4 Hz, 2H), 7.73 – 7.52 (m, 4H), 7.45 (s, 1H), 7.00 (d, *J* = 8.5 Hz, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.8, 148.5, 137.0, 133.5, 129.6, 129.1, 128.9, 124.8, 122.0, 119.6, 119.4, 115.8, 108.6, 101.8.^{19}F NMR (376 MHz, $CDCl_3$) δ -57.7. **HR-MS** (ESI) $C_{16}H_{10}F_3NO_3$ $[M+H]^+$: 322.0686, found: 322.0688.



(1-Hydroxy-6-(trifluoromethyl)-1*H*-indol-2-yl)(phenyl)methanone (7c)

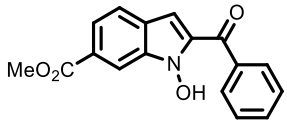
Yellow solid (42.1 mg, 69%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.62 (s, 1H), 8.02 (d, *J* = 7.4 Hz, 2H), 7.92 (s, 1H), 7.79 – 7.65 (m, 2H), 7.62 – 7.54 (m, 2H), 7.34 (d, *J* = 8.5 Hz, 1H), 7.05 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 190.1, 136.8, 133.7, 132.5, 129.7, 129.6, 128.9, 128.4, 125.9, 124.0, 123.2, 122.8, 117.5, 108.0 (q). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -61.8. **HR-MS** (ESI) $C_{16}H_{10}F_3NO_2$ $[M+H]^+$: 306.0736, found: 306.0740.



1-(2-Benzoyl-1-hydroxy-1*H*-indol-6-yl)ethan-1-one (8c)

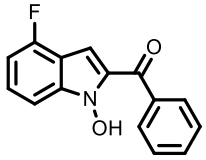
Yellow liquid (33.5 mg, 60%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.76 (s, 1H), 8.23 (s, 1H), 8.03 (d, *J* = 8.0 Hz, 2H), 7.79 – 7.66 (m, 3H), 7.58 (t, *J* = 7.6 Hz, 2H), 7.04 (s, 1H), 2.72 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.0, 190.2, 136.9, 135.3, 133.7,

133.0, 129.9, 129.7, 128.9, 123.9, 123.3, 120.3, 111.8, 107.9, 27.0. **HR-MS** (ESI) $C_{17}H_{13}NO_3$ $[M+H]^+$: 280.0968, found: 280.0967.



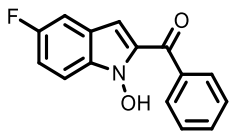
Methyl 2-benzoyl-1-hydroxy-1*H*-indole-6-carboxylate (9c)

Yellow liquid (24.2 mg, 41%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.62 (s, 1H), 8.37 (s, 1H), 8.03 (d, *J* = 7.2 Hz, 2H), 7.85 – 7.76 (m, 1H), 7.74 – 7.63 (m, 2H), 7.57 (t, *J* = 7.6 Hz, 2H), 7.03 (s, 1H), 3.98 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 190.2, 167.4, 136.9, 133.7, 133.1, 130.1, 129.7, 128.9, 128.2, 123.9, 123.1, 121.6, 112.7, 107.9, 52.4. **HR-MS** (ESI) $C_{17}H_{13}NO_4$ $[M+H]^+$: 296.0917, found: 296.0918.



(4-Fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone (10c)

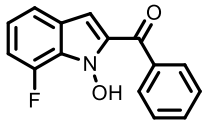
Yellow solid. (31.6 mg, 62%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.75 (s, 1H), 8.06 – 7.99 (m, 2H), 7.68 (t, *J* = 7.4 Hz, 1H), 7.57 (t, *J* = 7.6 Hz, 2H), 7.40 – 7.28 (m, 2H), 7.09 (s, 1H), 6.83 – 6.75 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 190.0, 157.5 (d, *J* = 252.9 Hz), 136.9, 135.6, 133.5, 129.7, 128.9, 127.6 (d, *J* = 7.7 Hz), 111.6 (d, *J* = 24.6 Hz), 106.0 (d, *J* = 4.1 Hz), 105.2, 105.0, 104.5. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -120.4. **HR-MS** (ESI) $C_{15}H_{10}FNO_2$ $[M+H]^+$: 256.0768, found: 256.0768.



(5-Fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone (11c)

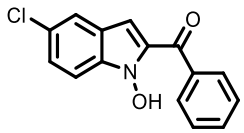
Yellow solid (31.4 mg, 60%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.74 (s, 1H), 8.06 – 7.95 (m, 2H), 7.74 – 7.63 (m, 1H), 7.62 – 7.51 (m, 3H), 7.31 – 7.27 (m, 1H), 7.23 – 7.14 (m, 1H), 6.97 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 190.0, 158.4 (d, *J* = 238.3 Hz), 137.1,

133.4, 130.8, 129.6, 128.8, 128.6, 121.1 (d, J = 10.3 Hz), 116.9 (d, J = 27.9 Hz), 111.2 (d, J = 9.4 Hz), 108.0 (d, J = 6.3 Hz), 106.8 (d, J = 23.6 Hz). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -121.6. **HR-MS** (ESI) $C_{15}H_{10}FNO_2$ $[M+H]^+$: 256.0768, found: 256.0766.



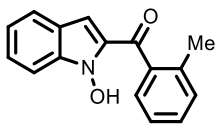
(7-Fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone (12c)

Yellow liquid (37.7 mg, 74%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.64 (s, 1H), 8.05 – 7.97 (m, 2H), 7.71 – 7.64 (m, 1H), 7.56 (t, J = 7.6 Hz, 2H), 7.42 – 7.36 (m, 1H), 7.11 – 6.97 (m, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 190.0, 149.2 (d, J = 251.1 Hz), 137.0, 133.5, 129.7, 128.8, 127.9, 126.4, 124.8 (d, J = 3.9 Hz), 121.2 (d, J = 5.5 Hz), 118.9 (d, J = 4.3 Hz), 111.8 (d, J = 16.5 Hz), 109.1. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -133.5. **HR-MS** (ESI) $C_{15}H_{10}FNO_2$ $[M+H]^+$: 256.0768, found: 256.0769.



(5-Chloro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone (13c)

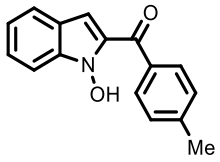
Yellow solid (31.9 mg, 59%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.71 (s, 1H), 8.06 – 7.93 (m, 2H), 7.68 (t, J = 7.4 Hz, 1H), 7.62 – 7.50 (m, 4H), 7.38 – 7.31 (m, 1H), 6.93 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 190.0, 137.0, 133.5, 132.1, 129.6, 128.8, 128.4, 127.7, 126.9, 122.1, 121.8, 111.2, 107.6. **HR-MS** (ESI) $C_{15}H_{10}ClNO_2$ $[M+H]^+$: 272.0473, found: 272.0475.



(1-Hydroxy-1*H*-indol-2-yl)(o-tolyl)methanone (14c)

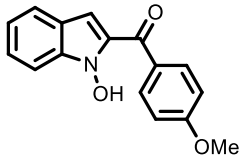
Yellow liquid (37.6 mg, 75%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.86 (s, 1H), 7.69 – 7.57 (m, 3H), 7.50 – 7.43 (m, 1H), 7.43 – 7.38 (m, 1H), 7.36 – 7.29 (m, 2H), 7.15 – 7.09 (m, 1H), 6.76 (s, 1H), 2.49 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 192.9, 137.5,

136.8, 133.9, 131.5, 131.3, 129.4, 128.4, 127.2, 125.3, 123.3, 121.3, 121.2, 109.8, 109.1, 20.0. **HR-MS** (ESI) $C_{16}H_{13}NO_2$ $[M+H]^+$: 252.1019, found: 252.1019.



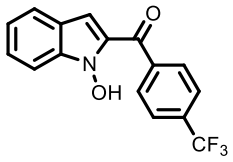
(1-Hydroxy-1*H*-indol-2-yl)(p-tolyl)methanone (15c)

Yellow solid (40.2 mg, 80%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.78 (s, 1H), 7.93 (d, *J* = 8.2 Hz, 2H), 7.64 (d, *J* = 8.2 Hz, 1H), 7.61 – 7.57 (m, 1H), 7.43 – 7.33 (m, 3H), 7.18 – 7.09 (m, 1H), 7.03 – 6.99 (m, 1H), 2.48 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.7, 144.2, 134.7, 133.8, 129.8, 129.5, 128.3, 127.8, 126.9, 123.2, 121.2, 109.8, 108.3, 21.9. **HR-MS** (ESI) $C_{16}H_{13}NO_2$ $[M+H]^+$: 252.1019, found: 252.1020.



(1-Hydroxy-1*H*-indol-2-yl)(4-methoxyphenyl)methanone (16c)

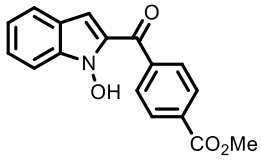
Yellow liquid (41.1 mg, 77%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.81 (s, 1H), 8.05 (d, *J* = 8.6 Hz, 2H), 7.70 – 7.54 (m, 2H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.13 (t, *J* = 7.4 Hz, 1H), 7.08 – 6.97 (m, 3H), 3.93 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 188.4, 163.9, 133.7, 132.1, 129.9, 127.9, 126.7, 123.0, 121.3, 121.2, 114.1, 109.8, 107.8, 55.7. **HR-MS** (ESI) $C_{16}H_{13}NO_3$ $[M+H]^+$: 268.0968, found: 268.0970.



(1-Hydroxy-1*H*-indol-2-yl)(4-(trifluoromethyl)phenyl)methanone (17c)

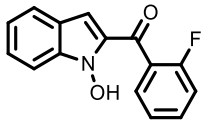
Yellow solid (18.9 mg, 31%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.35 (s, 1H), 8.10 (d, *J* = 8.0 Hz, 2H), 7.82 (d, *J* = 8.0 Hz, 2H), 7.69 – 7.55 (m, 2H), 7.43 (t, *J* = 7.7 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 6.99 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 188.6, 140.3, 134.5 (d, *J* = 28.1 Hz), 130.1 (d, *J* = 3.5 Hz), 129.9, 127.7, 127.6, 127.1, 125.8 (q, *J* =

3.7 Hz), 123.4, 121.7, 121.4, 110.0, 109.3. **^{19}F NMR (376 MHz, $CDCl_3$)** δ -63.1. **HR-MS** (ESI) $C_{16}H_{10}F_3NO_2$ $[M+H]^+$: 306.0736, found: 306.0739.



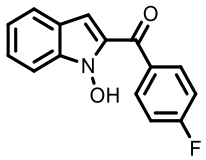
Methyl 4-(1-hydroxy-1*H*-indole-2-carbonyl)benzoate (18c)

Yellow liquid (14.7 mg, 25%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.47 (s, 1H), 8.21 (d, *J* = 8.1 Hz, 2H), 8.05 (d, *J* = 8.1 Hz, 2H), 7.64 (d, *J* = 8.2 Hz, 1H), 7.59 (d, *J* = 8.5 Hz, 1H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.15 (t, *J* = 7.6 Hz, 1H), 7.00 (s, 1H), 3.99 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.0, 166.2, 134.1, 133.9, 129.8, 129.3, 127.5, 127.4, 123.2, 121.5, 121.2, 117.8, 109.8, 109.0, 52.6. **HR-MS** (ESI) $C_{17}H_{13}NO_4$ $[M+H]^+$: 296.0917, found: 296.0915.



(2-Fluorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone (19c)

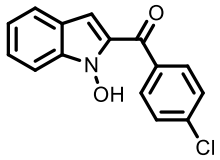
Yellow solid (31.6 mg, 62%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.47 (s, 1H), 7.75 – 7.68 (m, 1H), 7.63 – 7.54 (m, 3H), 7.44 – 7.37 (m, 1H), 7.34 – 7.27 (m, 1H), 7.25 – 7.20 (m, 1H), 7.15 – 7.09 (m, 1H), 6.90 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 187.0, 160.2 (d, *J* = 255.1 Hz), 134.2, 133.9 (d, *J* = 8.5 Hz), 131.0, 128.3, 127.6, 124.3 (d, *J* = 3.5 Hz), 123.4, 121.5, 121.3, 117.0, 116.8, 109.9, 109.2 (d, *J* = 2.5 Hz). **^{19}F NMR (376 MHz, $CDCl_3$)** δ -112.3. **HR-MS** (ESI) $C_{15}H_{10}FNO_2$ $[M+H]^+$: 256.0768, found: 256.0771.



(4-Fluorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone (20c)

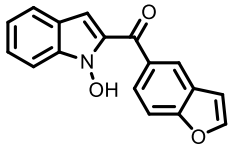
Yellow liquid (37.2 mg, 73%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.51 (s, 1H), 8.12 – 8.00 (m, 2H), 7.68 – 7.54 (m, 2H), 7.45 – 7.37 (m, 1H), 7.28 – 7.19 (m, 2H), 7.14 (t, *J*

= 7.5 Hz, 1H), 6.98 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 188.3, 166.0 (d, *J* = 255.7 Hz), 134.1, 133.6, 132.2 (d, *J* = 9.2 Hz), 127.7, 127.2, 123.2, 121.5, 121.3, 116.0 (d, *J* = 22.0 Hz), 109.9, 108.5 .^{19}F NMR (376 MHz, $CDCl_3$) δ -104.7. **HR-MS** (ESI) $C_{15}H_{10}FNO_2$ $[M+H]^+$: 256.0768, found: 256.0766.



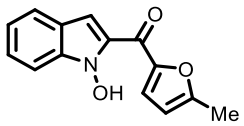
(4-Chlorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone (21c)

Yellow liquid (30.3 mg, 56%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.48 (s, 1H), 8.02 – 7.92 (m, 2H), 7.64 (d, *J* = 7.4 Hz, 1H), 7.61 – 7.56 (m, 1H), 7.56 – 7.51 (m, 2H), 7.44 – 7.38 (m, 1H), 7.17 – 7.12 (m, 1H), 7.00 – 6.98 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 187.8, 139.8, 135.6, 134.2, 131.0, 129.2, 128.6, 127.4, 123.3, 121.5, 121.3, 109.9, 108.7. **HR-MS** (ESI) $C_{15}H_{10}ClNO_2$ $[M+H]^+$: 272.0473, found: 272.0473.



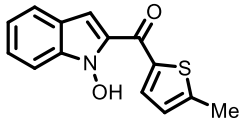
Benzofuran-5-yl(1-hydroxy-1*H*-indol-2-yl)methanone (22c)

Yellow liquid (34.9 mg, 63%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.73 (s, 1H), 8.38 – 8.29 (m, 1H), 8.06 – 7.96 (m, 1H), 7.76 (d, *J* = 2.2 Hz, 1H), 7.69 – 7.63 (m, 2H), 7.63 – 7.57 (m, 1H), 7.44 – 7.36 (m, 1H), 7.19 – 7.10 (m, 1H), 7.07 – 7.01 (m, 1H), 6.96 – 6.90 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 189.7, 157.7, 146.8, 133.9, 132.6, 128.0, 127.7, 127.0, 126.3, 124.0, 123.2, 121.3, 111.9, 109.9, 108.6, 107.4, 107.0. **HR-MS** (ESI) $C_{17}H_{11}NO_3$ $[M+H]^+$: 278.0812, found: 278.0815.



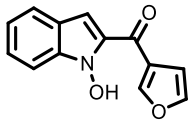
(1-Hydroxy-1*H*-indol-2-yl)(5-methylfuran-2-yl)methanone (23c)

Yellow liquid (27.5 mg, 57%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 12.20 (s, 1H), 7.68 (d, *J* = 8.2 Hz, 1H), 7.60 – 7.53 (m, 1H), 7.50 – 7.43 (m, 2H), 7.42 – 7.33 (m, 1H), 7.13 (t, *J* = 7.5 Hz, 1H), 6.34 – 6.27 (m, 1H), 2.53 (s, 3H).**^{13}C NMR (101 MHz, $CDCl_3$)** δ 174.7, 159.3, 150.7, 133.5, 126.7, 126.2, 123.2, 122.2, 121.6, 121.2, 110.0, 109.7, 106.5, 14.5. **HR-MS** (ESI) $C_{14}H_{11}NO_3$ $[M+H]^+$: 242.0812, found: 242.0812.



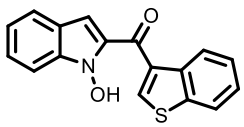
(1-Hydroxy-1*H*-indol-2-yl)(5-methylthiophen-2-yl)methanone (24c)

Yellow liquid (22.1 mg, 43%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.67 (s, 1H), 7.89 (d, *J* = 3.8 Hz, 1H), 7.66 (d, *J* = 8.2 Hz, 1H), 7.57 (d, *J* = 8.5 Hz, 1H), 7.42 – 7.34 (m, 1H), 7.22 (s, 1H), 7.13 (t, *J* = 7.5 Hz, 1H), 6.95 – 6.85 (m, 1H), 2.61 (s, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 179.9, 151.2, 139.4, 135.1, 133.8, 127.5, 127.4, 126.6, 123.0, 121.4, 121.2, 109.8, 106.1, 16.2. **HR-MS** (ESI) $C_{14}H_{11}NO_2S$ $[M+H]^+$: 258.0583, found: 258.0584.



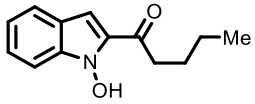
Furan-3-yl(1-hydroxy-1*H*-indol-2-yl)methanone (25c)

Yellow solid (14.7 mg, 31%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.60 (s, 1H), 8.26 (s, 1H), 7.66 (d, *J* = 8.2 Hz, 1H), 7.61 – 7.55 (m, 2H), 7.43 – 7.36 (m, 1H), 7.18 – 7.11 (m, 2H), 7.00 – 6.97 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 182.4, 147.9, 144.5, 133.9, 128.8, 128.2, 127.0, 126.0, 123.1, 121.4, 109.9, 109.8, 105.8. **HR-MS** (ESI) $C_{13}H_9NO_3$ $[M+H]^+$: 228.0655, found: 228.0656.



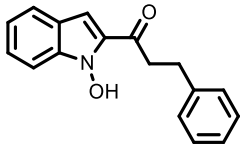
Benzo[*b*]thiophen-3-yl(1-hydroxy-1*H*-indol-2-yl)methanone (26c)

Yellow liquid (33.4 mg, 57%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.55 (s, 1H), 8.53 (d, *J* = 8.1 Hz, 1H), 8.43 (s, 1H), 7.95 (d, *J* = 7.9 Hz, 1H), 7.66 (d, *J* = 8.2 Hz, 1H), 7.61 (d, *J* = 8.5 Hz, 1H), 7.58 – 7.46 (m, 2H), 7.42 (t, *J* = 7.6 Hz, 1H), 7.15 (t, *J* = 7.6 Hz, 1H), 7.08 (s, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 180.0, 151.2, 139.4, 135.1, 133.8, 128.7, 128.6, 127.5, 127.4, 126.8, 126.7, 124.7, 123.0, 121.5, 121.3, 109.8, 106.1. **HR-MS** (ESI) $C_{17}H_{11}NO_2S$ $[M+H]^+$: 294.0583, found: 294.0583.



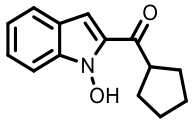
1-(1-Hydroxy-1*H*-indol-2-yl)pentan-1-one (27c)

Yellow liquid (23.9 mg, 55%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 12.00 (s, 1H), 7.64 (d, *J* = 8.2 Hz, 1H), 7.56 – 7.50 (m, 1H), 7.40 – 7.33 (m, 1H), 7.16 – 7.06 (m, 2H), 3.00 – 2.91 (m, 2H), 1.79 (p, *J* = 7.5 Hz, 2H), 1.52 – 1.38 (m, 2H), 0.97 (t, *J* = 7.3 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 198.2, 133.1, 127.3, 126.8, 123.1, 121.2, 121.0, 109.8, 104.6, 38.6, 27.4, 22.6, 14.0. **HR-MS** (ESI) $C_{14}H_{17}NO_2$ $[M+H]^+$: 218.1176, found: 218.1178.



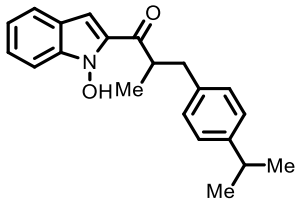
1-(1-Hydroxy-1*H*-indol-2-yl)-3-phenylpropan-1-one (28c)

Yellow liquid (33.9 mg, 64%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.72 (s, 1H), 7.53 (d, *J* = 8.2 Hz, 1H), 7.46 – 7.41 (m, 1H), 7.33 – 7.10 (m, 6H), 7.07 – 6.99 (m, 1H), 6.98 – 6.92 (m, 1H), 3.24 – 3.16 (m, 2H), 3.03 (t, *J* = 7.7 Hz, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 196.5, 140.5, 133.2, 128.8, 128.5, 127.3, 126.9, 126.6, 123.1, 121.3, 121.0, 109.8, 104.8, 40.4, 30.6. **HR-MS** (ESI) $C_{17}H_{15}NO_2$ $[M+H]^+$: 266.1176, found: 266.1176.



Cyclopentyl(1-hydroxy-1*H*-indol-2-yl)methanone (29c)

Yellow liquid (23.4 mg, 51%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 12.12 (s, 1H), 7.64 (d, *J* = 8.2 Hz, 1H), 7.55 – 7.50 (m, 1H), 7.40 – 7.33 (m, 1H), 7.15 – 7.06 (m, 2H), 3.64 (p, 1H), 2.09 – 1.92 (m, 4H), 1.89 – 1.65 (m, 4H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 200.9, 133.0, 127.2, 126.7, 123.0, 121.8, 121.1, 109.8, 104.5, 47.3, 30.9, 26.6. **HR-MS** (ESI) $C_{14}H_{15}NO_2$ $[M+H]^+$: 230.1176, found: 230.1178.

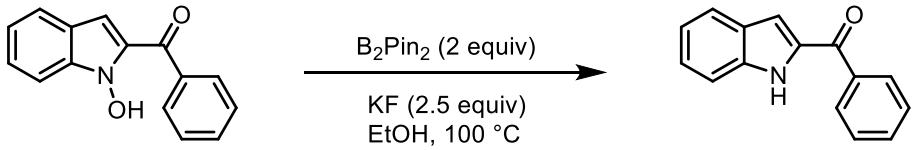


1-(1-Hydroxy-1*H*-indol-2-yl)-3-(4-isopropylphenyl)-2-methylpropan-1-one (30c)

Yellow solid (39.8 mg, 62%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 12.02 (s, 1H), 7.62 (d, *J* = 8.2 Hz, 1H), 7.55 – 7.49 (m, 1H), 7.40 – 7.32 (m, 1H), 7.13 (s, 4H), 7.11 – 7.08 (m, 1H), 7.03 (s, 1H), 3.60 (q, *J* = 7.0 Hz, 1H), 3.24 – 3.12 (m, 1H), 2.91 – 2.70 (m, 2H), 1.32 (d, *J* = 6.9 Hz, 3H), 1.21 (d, *J* = 6.9 Hz, 6H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 201.2, 147.1, 136.6, 133.2, 129.0, 126.9, 126.8, 126.7, 123.1, 121.2, 121.0, 109.8, 104.7, 44.3, 39.4, 33.8, 24.1, 18.0. **HR-MS** (ESI) $C_{21}H_{23}NO_2$ $[M+H]^+$: 322.1802, found: 322.1806.

5 Synthetic applications

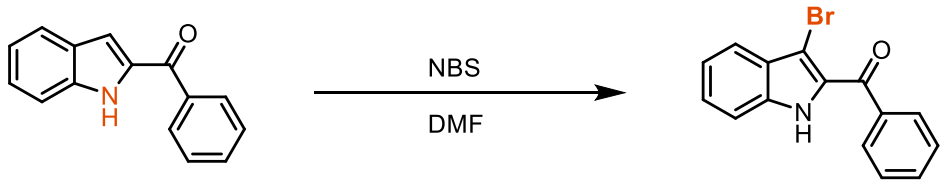
(1-Hydroxy-1H-indol-2-yl)(5-methylthiophen-2-yl)methanone (1d)[1]



A 25 mL of Schleck tube was added (1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone (0.2 mmol, 1 equiv), B_2Pin_2 (2 equiv) and KF (2.5 equiv) in EtOH (1 mL). The reaction mixture was heated for 4 h, in a 100 °C silicon oil bath, under N_2 with stirring. The crude product was purified by silica gel column chromatography in ethyl acetate and

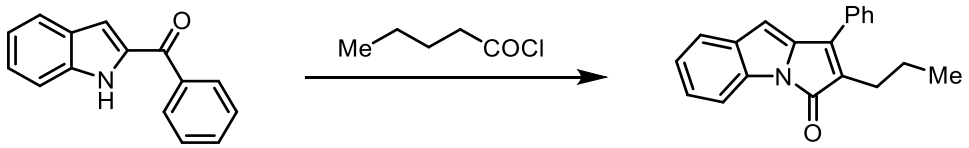
petroleum ether. Yellow liquid. (34.5 mg, 78%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 9.91 (s, 1H), 8.09 – 8.01 (m, 2H), 7.77 – 7.71 (m, 1H), 7.68 – 7.61 (m, 1H), 7.60 – 7.51 (m, 3H), 7.43 – 7.36 (m, 1H), 7.22 – 7.15 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 187.5, 138.2, 137.9, 134.5, 132.5, 129.4, 128.6, 127.8, 126.6, 123.3, 121.1, 113.2, 112.5.

(3-Bromo-1*H*-indol-2-yl)(phenyl)methanone (2d)[16]



1*H*-Indol-2-ylphenylmethanone **1e** (66.3 mg, 0.3 mmol), NBS (53.4 mg,0.3 mmol) and DMF (2 mL) were added in a 25 mL Schlenk tube, then the mixture was stirred atroom temperature for 3 hours. After extracting with EA (3*20 mL), the organic phasewas dried over Na_2SO_4 and concentrated by reduced pressure distillation. The residuewas purified by flash chromatography (petroleum ether: ethyl acetate = 50: 1) to give(3-Bromo-1H-indol-2-yl)phenylmethanone **2e** as pale orange solid (71.5 mg, 80%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 11.98 (s, 1H), 7.81 (d, *J* = 7.6 Hz, 2H), 7.74-7.70 (m, 1H), 7.63-7.52 (m, 4H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.24 (t, J = 7.6 Hz, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 187.9, 138.0, 136.7, 133.4, 132.0, 130.0, 129.1, 127.4, 126.8, 121.9, 120.8, 113.7, 96.6.

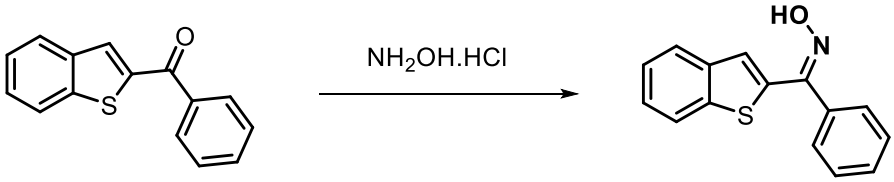
2-Ethyl-1-phenyl-3H-pyrrolo[1,2-*a*]indol-3-one (3d)[16]



1*H*-Indol-2-ylphenylmethanone **1e** (44.2 mg, 0.2 mmol), tetrabutylammonium hydrogen sulfate (6.8 mg, 0.02 mmol), dichloromethane (2 mL) was stirred at room temperature under N_2 atmosphere for 30minutes. Then, *n*-butyryl chloride (26.7 mg, 0.25 mmol) was added dropwise to the mixture at 0°C and stirred for another 10 hours at room temperature. After filtering, the mixture was evaporated under reduced pressure. The residue was purified by flash chromatography (petroleum ether: ethyl acetate =

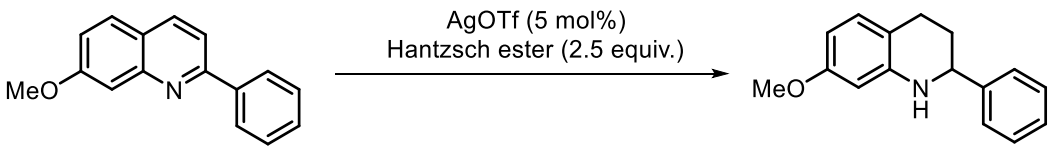
50:1) to give 2-Ethyl-1-phenyl-3H-pyrrolo[1,2-a]indol-3-one 3e as a pale orange solid (32.1 mg, 56%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.71 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.59 – 7.53 (m, 2H), 7.53 – 7.42 (m, 3H), 7.37 (dt, *J* = 7.7, 0.9 Hz, 1H), 7.25 (d, *J* = 3.7 Hz, 1H), 7.07 (td, *J* = 7.6, 1.0 Hz, 1H), 6.38 (s, 1H), 2.50 – 2.44 (m, 2H), 1.70 – 1.63 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H).**^{13}C NMR (101 MHz, $CDCl_3$)** δ 165.8, 142.0, 141.9, 135.5, 134.6, 133.8, 131.8, 129.5, 128.9, 128.0, 126.8, 123.1, 122.5, 112.4, 106.7, 26.4, 22.4, 14.2.

Benzo[b]thiophen-2-yl(phenyl)methanone oxime (4d)[17]



Add benzo[*b*]thiophen-2-yl(phenyl)methanone (0.2 mmol, 1.0 equiv.) to a solution of NH_2OH HCl (1.5 equiv.) and NaOAc (1.5 equiv.) in EtOH/H_2O (1:1, 1.8 M) at room temperature. Reflux the reaction mixture for 20 hours. Cool the mixture to room temperature. Store the reaction mixture at -20°C for 24 hours. Filter the precipitated oxime. Wash the precipitate with cold ethanol. Dry the mixture under vacuum. Extract the mixture with CH_2Cl_2 of the aqueous phase. Concentrate aqueous phase. Evaporate the ethanol under reduced pressure. Purify the residue by flash column chromatography (PE/EtOAc mixtures) to obtain the product **4e** (44.5 mg, 88%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.87 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.79 – 7.73 (m, 1H), 7.63 – 7.58 (m, 2H), 7.51 – 7.45 (m, 4H), 7.41 – 7.33 (m, 2H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 152.5, 142.2, 137.4, 136.0, 132.5, 129.9, 129.5, 129.3, 128.5, 125.9, 124.6, 124.5, 122.1.

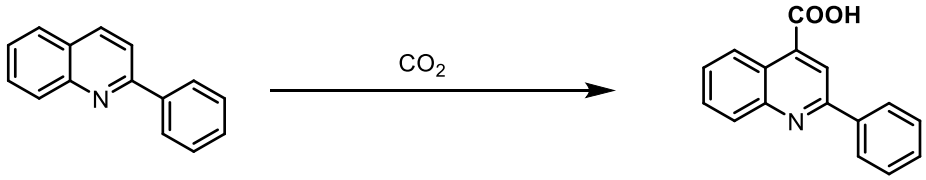
7-methoxy-2-phenyl-1,2,3,4-tetrahydroquinoline (5d)[18]



Quinoline **1c** (0.3 mmol), Hantzsch ester (0.75 mmol) and AgOTf (0.015 mmol) were added into a 10 mL Schlenk tube. After the addition of 1.0 mL $CHCl_3$, the solution was

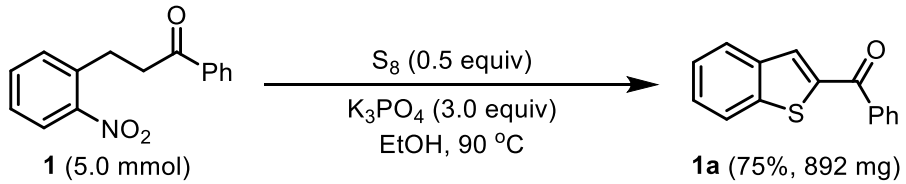
stirred at 35 ℃ for 4 h. When the starting material was disappeared monitored by TLC, the solution was then concentrated under reduced pressure. The crude residue was purified by silica gel column chromatography to afford the pure 7-methoxy-2-phenyl-1,2,3,4-tetrahydroquinoline **5d** (65.9 mg, 92%). **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.36 – 7.11 (m, 5H), 6.82 (d, *J* = 8.1 Hz, 1H), 6.17 (dd, *J* = 8.3, 2.5 Hz, 1H), 6.02 (d, *J* = 2.5 Hz, 1H), 4.33 (dd, *J* = 9.2, 3.3 Hz, 1H), 3.66 (s, 3H), 2.80 – 2.72 (m, 1H), 2.62 – 2.55 (m, 1H), 2.02 (dt, *J* = 10.0, 5.1 Hz, 1H), 1.93 – 1.83 (m, 1H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 158.9, 145.5, 144.8, 129.9, 128.6, 127.5, 126.6, 113.6, 103.1, 99.3, 56.2, 55.2, 31.2, 25.6.

Cinchophen (6d)[19]



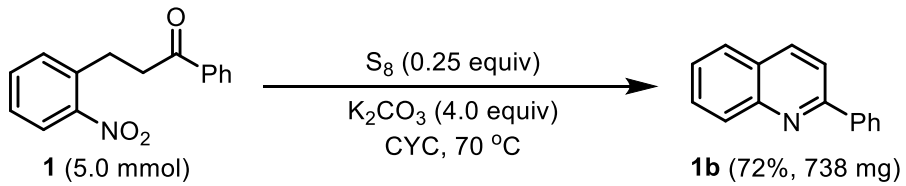
The electrocatalysis was carried out in an undivided cell with graphite felt as electrodes. To an oven-dried undivided electrochemical cell (15 mL) equipped with a magnetic bar was added organic arenes (0.3 mmol, 1.0 equiv.), Et_4NI (77.2 mg, 0.3 mmol, 1.0 equiv.). Then the tube was evacuated and back-filled under CO_2 flow (this procedure was repeated three times), and anhydrous DMF (4.0 mL) was added via a syringe. The electrocatalysis was performed at 20.0 mA of constant current for 10 h with CO_2 balloon at 0 ºC. After that, the reaction mixture was acidized with HCl aqueous (2.0 M). The aqueous layer extracted with EtOAc (8 x 15 mL) and the combined organic phase were washed with sat. NH_4Cl, dried by anhydrous $MgSO_4$, filtered, and concentrated in vacuo. The crude product was purified by column chromatography to furnish the cinchophen **6d** (53.7 mg, 72%). **^{1}H NMR (400 MHz, DMSO-*d*$_6$)** δ 14.06 (s, 1H), 8.67 (d, *J* = 8.5 Hz, 1H), 8.46 (s, 1H), 8.31 (d, *J* = 7.4 Hz, 2H), 8.18 (d, *J* = 8.4 Hz, 1H), 7.86 (t, *J* = 7.6 Hz, 1H), 7.76 – 7.29 (m, 4H). **^{13}C NMR (101 MHz, DMSO-*d*$_6$)** δ 168.2, 156.3, 148.9, 138.6, 138.4, 130.7, 130.4, 130.2, 129.46, 128.2, 127.7, 126.0, 124.0, 119.5.

Gram-scale reaction



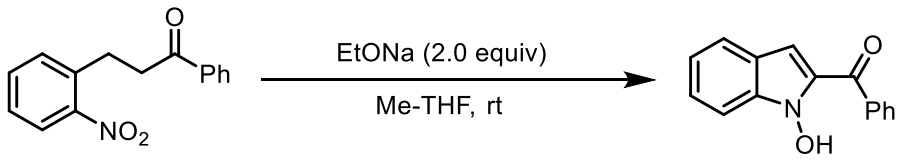
1 (5.0 mmol) **1a** (75%, 892 mg)

A 50 mL round-bottomed flask equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (1.28g, 5.0 mmol), S_8 (0.64g, 2.5 mmol), K_3PO_4 (3.18g, 15.0 mmol) and EtOH (15 mL) were added, and the reaction mixture was stirred at 90 ℃ for 12 h. The crude product was purified by recrystallization (PE/DCM) to obtain the product **1a** (75% yield, 892 mg).



1 (5.0 mmol) **1b** (72%, 738 mg)

A 50 mL Schlenk tube equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (1.28g, 5.0 mmol), S_8 (0.64g, 1.25 mmol), K_2CO_3 (2.76g, 20.0 mmol) and CYC (15 mL) were added, and the reaction mixture was stirred under N_2 at 70 ℃ for 12 h. The crude product was purified by recrystallization (PE/DCM) to obtain the product **1b** (72% yield, 738 mg).

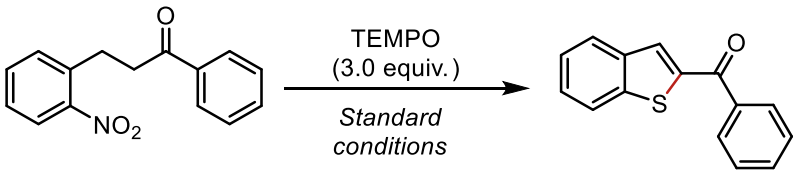


1 (5.0 mmol) **1c** (77%, 912 mg)

A 50 mL round-bottomed flask equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (1.28g, 5.0 mmol), EtONa (675 mg, 0.4 mmol) and Me-THF (15.0 mL) were added, and the reaction mixture was stirred at room temperature for 4 h. The crude product was purified by recrystallization (PE/DCM) to obtain the product **1c** (77% yield, 912 mg).

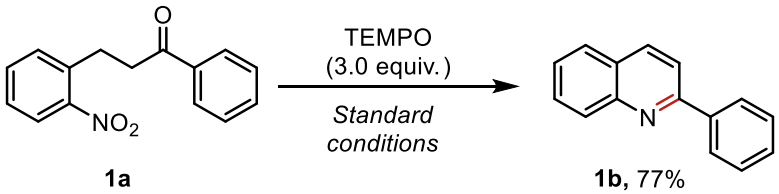
6 Mechanistic studies

Radical-trapping experiments



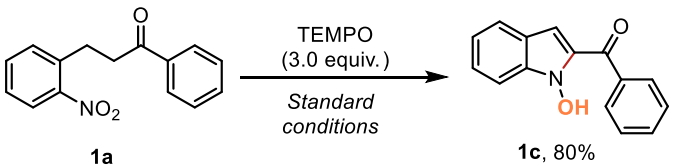
1a **1a,** 78%

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (0.2 mmol), S_8 (25.6 mg, 0.1 mmol), K_3PO_4 (127.2 mg, 0.6 mmol), TEMPO (93.6 mg, 0.6 mmol) and EtOH (1.0 mL) were added, and the reaction mixture was stirred at 90 ℃ for 12 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.



1a **1b,** 77%

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (0.2 mmol), S_8 (12.8 mg, 0.05 mmol), K_2CO_3 (170 mg, 0.8 mmol), TEMPO (93.6 mg, 0.6 mmol), and CYC (0.5 mL) were added, and the reaction mixture was stirred in cyclohexanone at 70 ℃ under N_2 for 12 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.

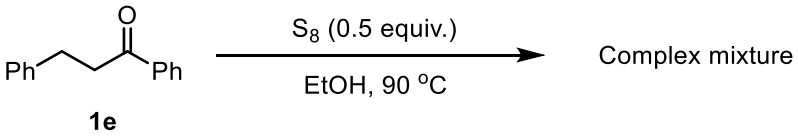


1a **1c**, 80%

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones (0.2 mmol), EtONa (27 mg, 0.4 mmol), TEMPO (93.6 mg, 0.6 mmol), and Me-THF (0.5 mL) were added, and the reaction mixture was stirred at room

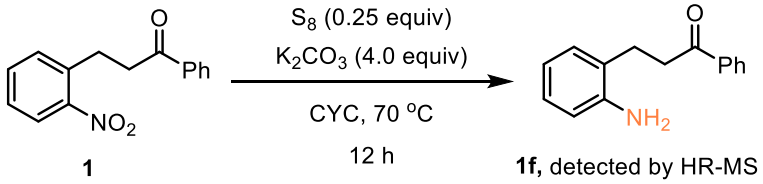
temperature for 2 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.

Investigating the role of elemental sulphur

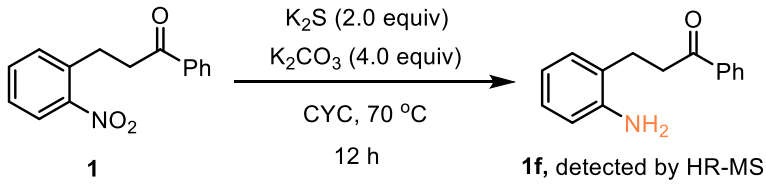


1e

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the1,3-diphenylpropan-1-one **1e** (0.2 mmol), S_8 (25.6 mg, 0.1 mmol), K_3PO_4 (127.2 mg, 0.6 mmol), and EtOH (0.5 mL) were added, and the reaction mixture was stirred at 90 ℃ for 12 h. The reaction mixture was analyzed by GC-MS.

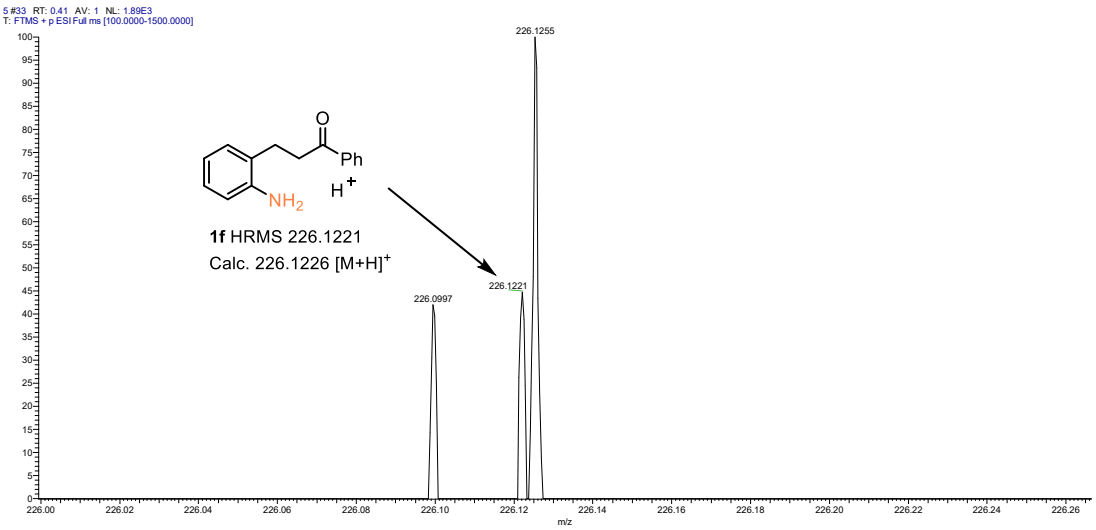


1 **1f,** detected by HR-MS

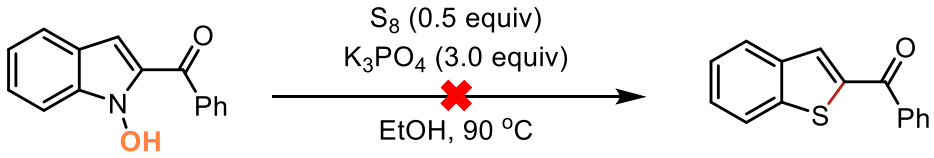


1 **1f,** detected by HR-MS

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the *o*-nitrodihydrochalcones **1** (0.2 mmol), S_8 (12.8 mg, 0.05 mmol) or K_2S (44.0 mg, 2.0 mmol), K_2CO_3 (170 mg, 0.8 mmol), and CYC (0.5 mL) were added, and the reaction mixture was stirred in cyclohexanone at 70 ℃ under N_2 for 12 h. The reaction mixture was analyzed by HR-MS.

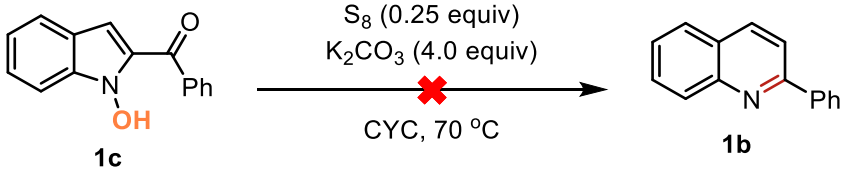


Using 1a, 1c, or 1g as starting material



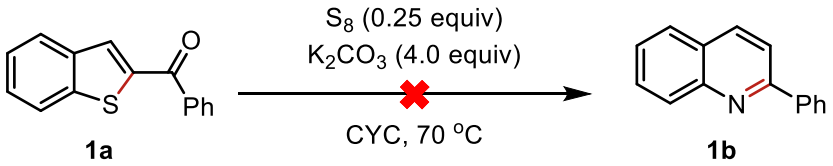
1c **1a**

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **1c** (0.2 mmol), S_8 (25.6 mg, 0.1 mmol), K_3PO_4 (127.2 mg, 0.6 mmol), and EtOH (1.0 mL) were added, and the reaction mixture was stirred at 90 ℃ for 12 h. The reaction mixture was analyzed by GC-MS.



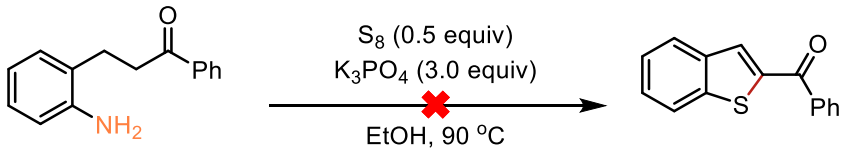
1c **1b**

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **1c** (0.2 mmol), S_8 (12.8 mg, 0.05 mmol), K_2CO_3 (170 mg, 0.8 mmol), and CYC (0.5 mL) were added, and the reaction mixture was stirred in cyclohexanone at 70 ℃ under N_2 for 12 h. The reaction mixture was analyzed by GC-MS.



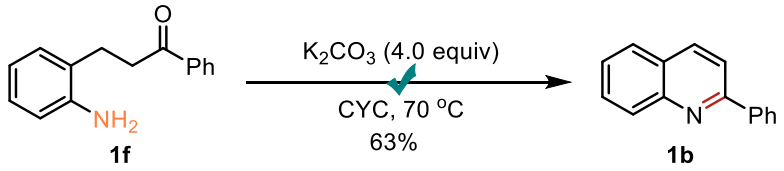
1a **1b**

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **1a** (0.2 mmol), S_8 (12.8 mg, 0.05 mmol), K_2CO_3 (170 mg, 0.8 mmol), and CYC (0.5 mL) were added, and the reaction mixture was stirred in cyclohexanone at 70 ℃ under N_2 for 12 h. The reaction mixture was analyzed by GC-MS.



1f **1a**

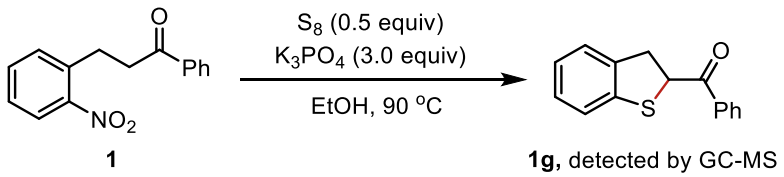
A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **1f** (0.2 mmol), S_8 (25.6 mg, 0.1 mmol), K_3PO_4 (127.2 mg, 0.6 mmol), and EtOH (0.5 mL) were added, and the reaction mixture was stirred at 90 ℃ for 12 h. The reaction mixture was analyzed by GC-MS.



1f **1b**

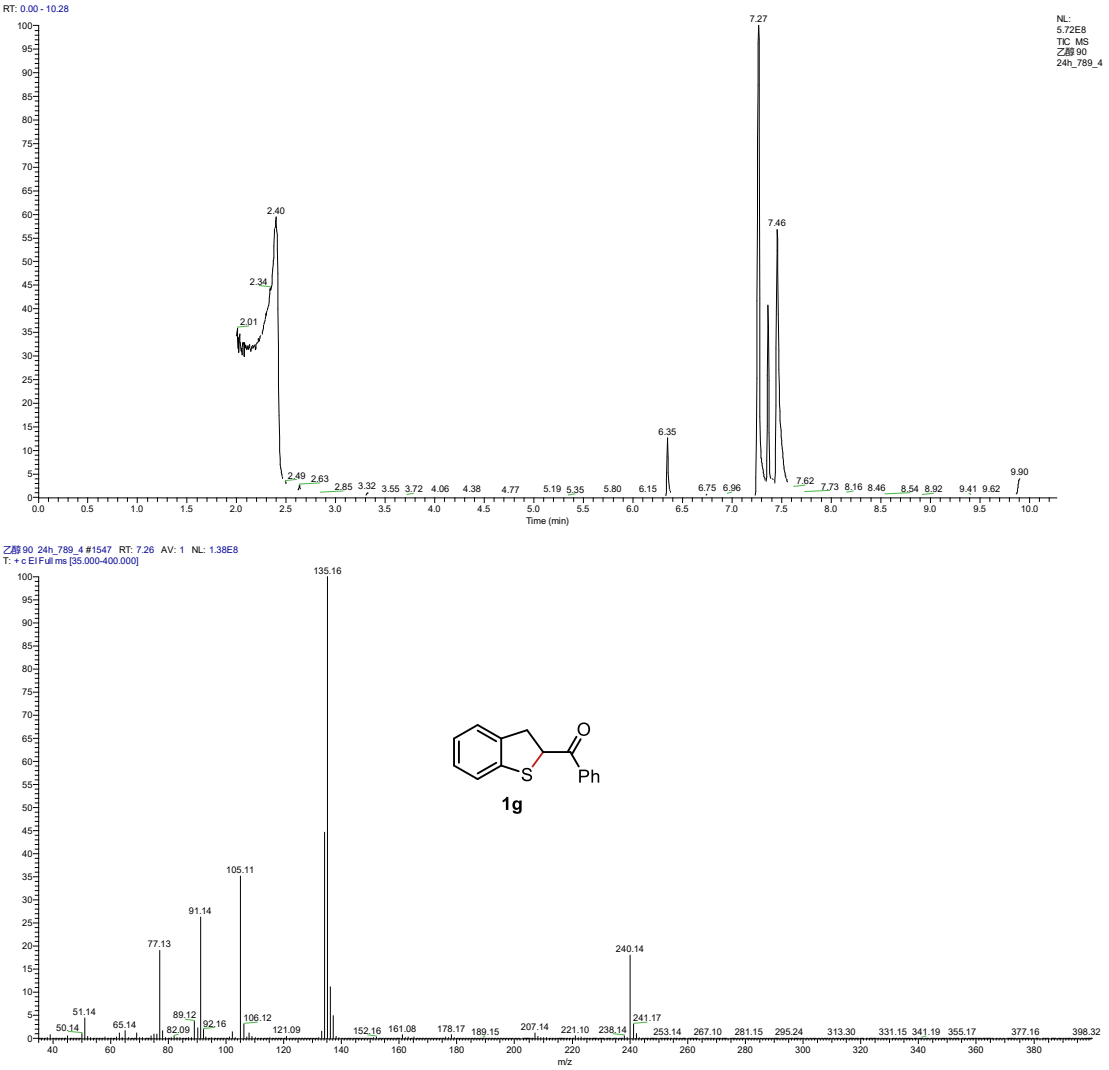
A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **1f** (0.2 mmol), S_8 (12.8 mg, 0.05 mmol), K_2CO_3 (170 mg, 0.8 mmol), and CYC (0.5 mL) were added, and the reaction mixture was stirred in cyclohexanone at 70 ℃ under N_2 for 12 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.

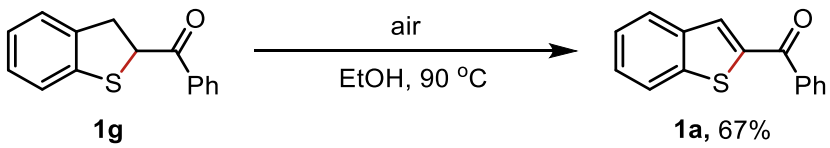
Possible intermediates studies for thiophenes synthesis



1 **1g**, detected by GC-MS

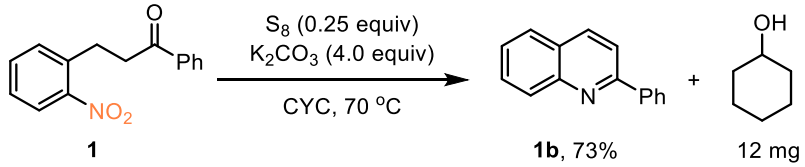
A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **1** (0.2 mmol), S_8 (25.6 mg, 0.1 mmol), K_3PO_4 (127.2 mg, 0.6 mmol), and EtOH (0.5 mL) were added, and the reaction mixture was stirred under N_2 at 90 ℃ for 2 h. The reaction mixture was analyzed by GC-MS.





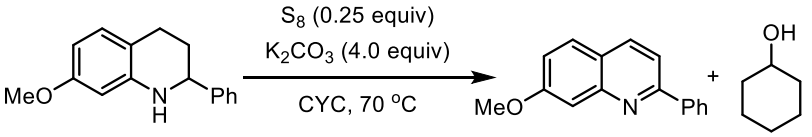
A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **1h** (0.1 mmol) and EtOH (0.5 mL) were added, and the reaction mixture was stirred at 90 ℃ for 12 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.

The study of CYC as a reducing reagent



1 **1b**, 73% 12 mg

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **1a** (0.2 mmol), S_8 (12.8 mg, 0.05 mmol), K_2CO_3 (170 mg, 0.8 mmol), and CYC (0.5 mL) were added, and the reaction mixture was stirred in cyclohexanone at 70 °C under N_2 for 12 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.



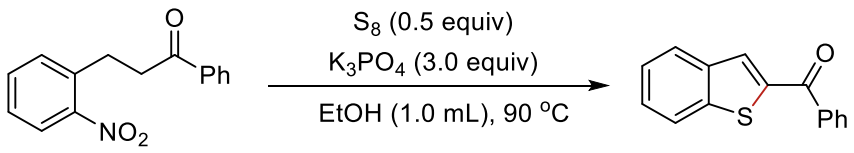
5d **5c**, 37% 18 mg

A 25 mL Schlenk tube equipped with a magnetic stir bar was charged with the **5d** (0.2 mmol), S_8 (12.8 mg, 0.05 mmol), K_2CO_3 (170 mg, 0.8 mmol), and CYC (0.5 mL) were added, and the reaction mixture was stirred in cyclohexanone at 70 °C under N_2 for 12 h. The product was purified by flash column chromatography on silica gel using petroleum ether/EtOAc as eluent.

7 Green metrics

Table S3. Evaluation of green chemistry metrics for the synthesis of 1a from 1.

Our method:



1 (0.2 mmol) **1a** (80%)

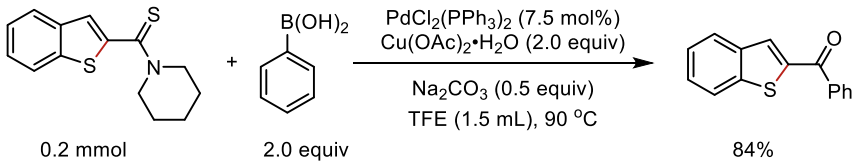
Reactant **1**	51.018 mg	0.2 mmol	FW 255.09
S_8	25.576 mg	0.1 mmol	FW 255.76
K_3PO_4	127.356 mg	0.6 mmol	FW 212.26
EtOH	789 mg	-	-

Product **1a**	38.088 mg	0.16 mmol	FW 238.05

$$\text{PMI} = \frac{\text{Total mass of process or process step}}{\text{Mass of product}} = \frac{51.018 + 25.576 + 127.356 + 789}{38.088} = 26.07$$

$$\text{E-factor} = \frac{\text{Mass of waste}}{\text{Mass of product}} = \frac{51.018 + 25.576 + 127.356 + 394 - 38.088}{38.088} = 25.07 \text{ kg waste/1 kg product}$$

Previous report:



0.2 mmol 2.0 equiv 84%

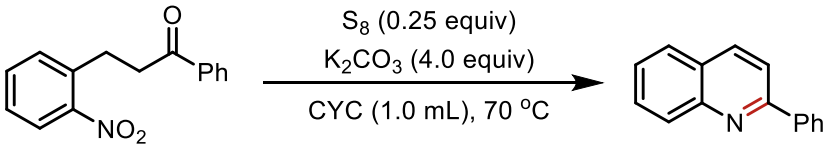
$$\text{PMI} = \frac{\text{Total mass of process or process step}}{\text{Mass of product}} = \frac{52.2 + 48.8 + 10.5 + 79.9 + 10.6 + 2122.5}{39.99} = 58.13$$

$$\text{E-factor} = \frac{\text{Mass of waste}}{\text{Mass of product}} = \frac{52.2 + 48.8 + 10.5 + 79.9 + 10.6 + 2122.5 - 39.99}{39.99} = 57.13 \text{ kg waste/1 kg product}$$

Nat Commun, 2019, **10**, 5709

Table S4. Evaluation of green chemistry metrics for the synthesis of 1b from 1.

Our method:



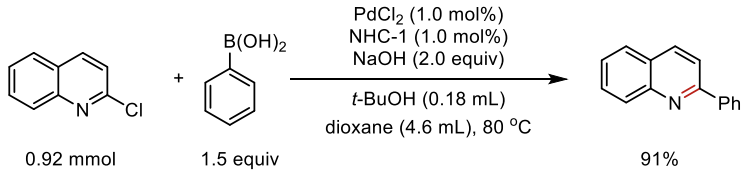
1 (0.2 mmol) **1b** (79%)

Reactant **1a**	51.018 mg	0.2 mmol	FW 255.09
S_8	12.788 mg	0.05 mmol	FW 255.76
K_2CO_3	110.568 mg	0.8 mmol	FW 138.21
Cyclohexanone	473 mg	-	-
Product **1b**	32.814 mg	0.16 mmol	FW 205.09

$$\text{PMI} = \frac{\text{Total mass of process or process step}}{\text{Mass of product}} = \frac{51.018 + 12.788 + 110.568 + 473}{32.814} = 19.73$$

$$\text{E-factor} = \frac{\text{Mass of waste}}{\text{Mass of product}} = \frac{51.018 + 12.788 + 110.568 + 473 - 32.814}{32.814} = 18.73 \text{ kg waste/1 kg product}$$

Previous report:



$$\text{PMI} = \frac{\text{Total mass of process or process step}}{\text{Mass of product}} = \frac{149.9 + 168.4 + 1.62 + 4.6 + 73.3 + 139.5 + 4756.4}{171.6} = 30.84$$

$$\text{E-factor} = \frac{\text{Mass of waste}}{\text{Mass of product}} = \frac{149.9 + 168.4 + 1.62 + 4.6 + 73.3 + 139.5 + 4756.4 - 171.6}{171.6} = 29.84 \text{ kg waste/1 kg product}$$

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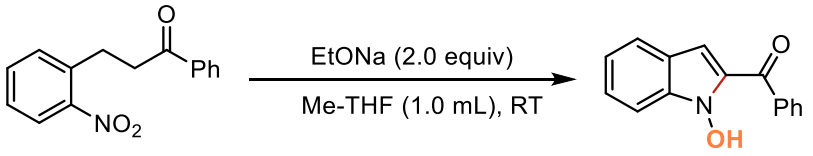
Table S5. Evaluation of green chemistry metrics for the synthesis of 1c from 1.



1 (0.2 mmol) **1c** (80%)

Reactant **1a**	51.018 mg	0.2 mmol	FW 255.09
EtONa	27.22 mg	0.4 mmol	FW 68.05
Me-THF	-	-	-
Product **1c**	47.416 mg	0.16 mmol	FW 237.08

$$\text{PMI} = \frac{\text{Total mass of process or process step}}{\text{Mass of product}} = \frac{51.018 + 27.22 + 455}{47.416} = 11.24$$

$$\text{E-factor} = \frac{\text{Mass of waste}}{\text{Mass of product}} = \frac{51.018 + 27.22 + 455 - 47.416}{47.416} = 10.24 \text{ kg waste/1 kg product}$$

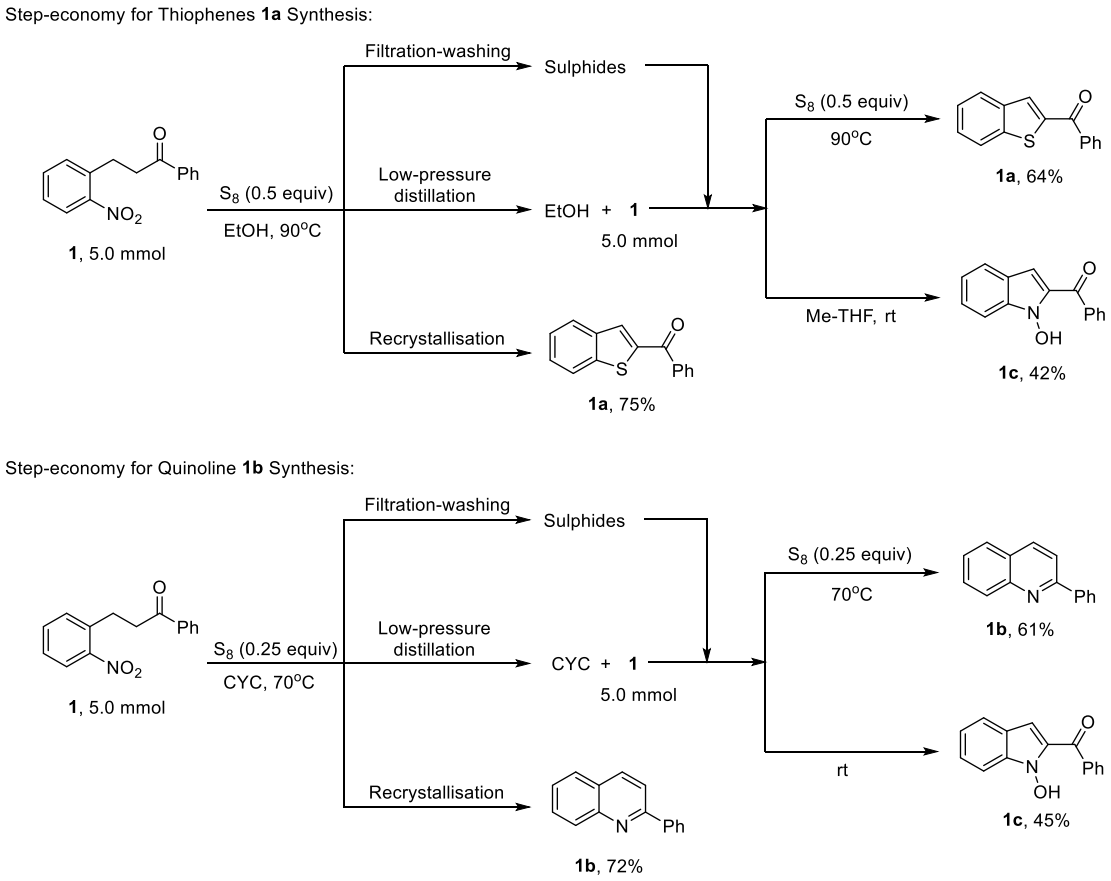
Step-economy strategy:

1. **Substrate sourcing:** Key substrates are prepared by employed commercially available, inexpensive photocatalyst, carboxylic acids and olefins as starting materials. in high yield via straightforward photocatalysis, eliminating the need for expensive palladium catalysts used in previous routes.

2. **Solvent sustainability:** All three syntheses employ low-boiling-point solvents that are readily recovered and recycled by simple vacuum distillation.

3. **Streamlined purification:** On a gram-scale, products are obtained in high purity via simple filtration and recrystallization, drastically reducing the solvent waste associated with chromatographic purification and lowering overall costs.

4. **Byproduct valorization:** The solid sulfide byproduct generated from the reaction of sulfur and base can be reused in the synthesis of all three heterocycles, adding further economic and environmental value, as illustrated below:



8 References

[1] M. Arthuis, R. Pontikis and J. C. Florent, *Org. Lett.*, 2009, **11**, 4608-11.

[2] S. Sangeetha and G. Sekar, *Org. Lett.*, 2017, **19**, 1670-1673.

[3] T. Gallagher, D. A. Pardoe and R. A. Porter, *Tetrahedron Lett.*, 2000, **41**, 5415-5418.

[4] T. B. Nguyen and P. Retailleau, *Org. Lett.*, 2017, **19**, 4858-4860.

[5] L. Elmir, G. Bentabed-Ababsa, W. Erb, T. Roisnel, J.-P. Hurvois, L. Picot, V. Thiéry and F. Mongin, *Eur. J. Org. Chem.*, 2024, **27**, e202400374.

[6] S. Elangovan, J.-B. Sortais, M. Beller and C. Darcel, *Angew. Chem. Int. Ed.*, 2015, **54**, 14483-14486.

[7] X. Ji, H. Huang, Y. Li, H. Chen and H. Jiang, *Angew. Chem. Int. Ed.*, 2012, **51**,

7292-7296.

[8] L.-Y. Xi, R.-Y. Zhang, L. Zhang, S.-Y. Chen and X.-Q. Yu, *Org. Biomol. Chem.*, 2015, **13**, 3924-3930.

[9] S. Parua, R. Sikari, S. Sinha, S. Das, G. Chakraborty and N. D. Paul, *Org. Biomol. Chem.*, 2018, **16**, 274-284.

[10] L. Cao, H. Zhao, R. Guan, H. Jiang, P. H. Dixneuf and M. Zhang, *Nat. Commun.*, 2021, **12**, 4206.

[11] S. Ge and J. F. Hartwig, *Angew. Chem.,* 2012, **124**, 13009–13013.

[12] B. Liu, Y. Huang, J. Lan, F. Song and J. You, *Chem. Sci.*, 2013, **4**, 2163-2167.

[13] Z. Wang, Q. Lin, N. Ma, S. Liu, M. Han, X. Yan, Q. Liu, G. A. Solan and W.-H. Sun, *Catal. Sci. Technol.,* 2021, **11**, 8026-8036.

[14] J. Zhou, Y. Zou, P. Zhou, Z. Chen and J. Li, *Org. Chem. Front.,* 2019, **6**, 1594-1598.

[15] M. Kojima and M. Kanai, *Angew. Chem. Int. Ed.*, 2016, **55**, 12224-12227.

[16] Y. Tong, E. Mi, L. Zhou, X. Wang, B. Xiong, *ChemistrySelect* 2023, **8**, e202303078.

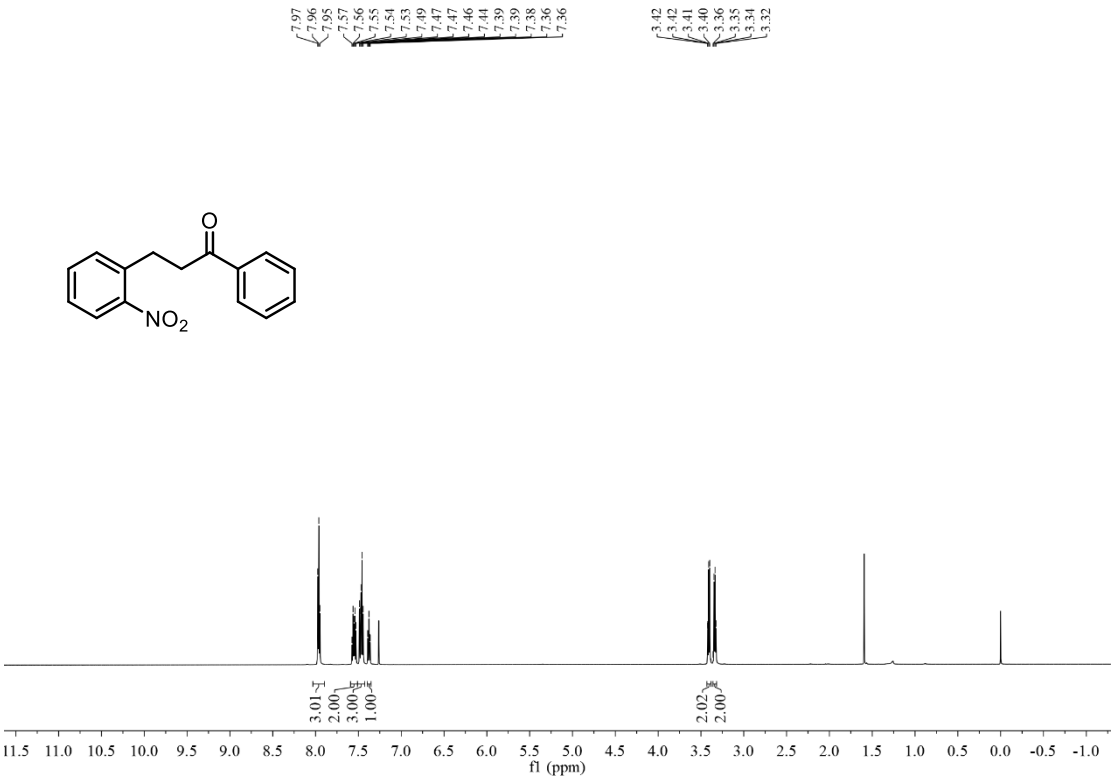
[17] B. Rong, G. Xu, H. Yan, S. Zhang, Q. Wu, N. Zhu, Z. Fang, J. Duan and K. Guo, *Org. Chem. Front.*, 2021, **8**, 2939-2943.

[18] D. Pi, H. Zhou, P. Cui, R. He, and Y. Sui, *ChemistrySelect* 2017, **2**, 3976 – 3979.

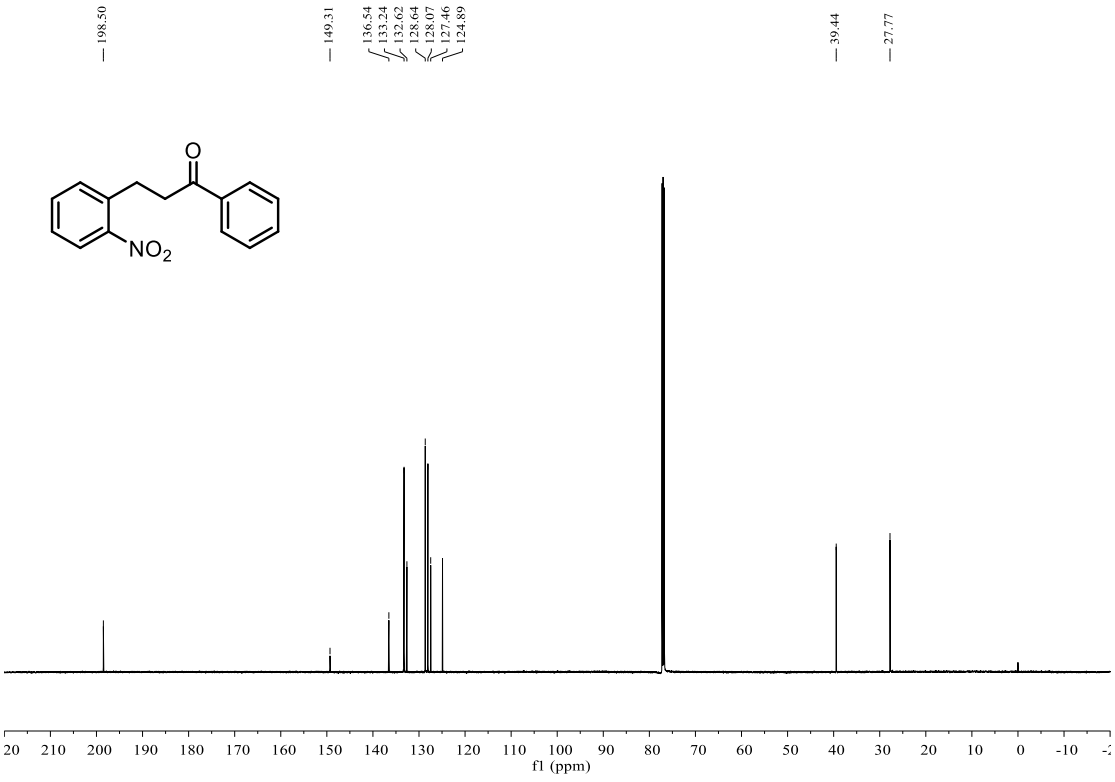
[19] Z. Zhao, Y. Liu, S. Wang, S. Tang, D. Ma, Z. Zhu, C. Guo, and Y. Qiu, *Angew. Chem. Int. Ed*. 2023, **62**, e202214710.

9 NMR Spectra

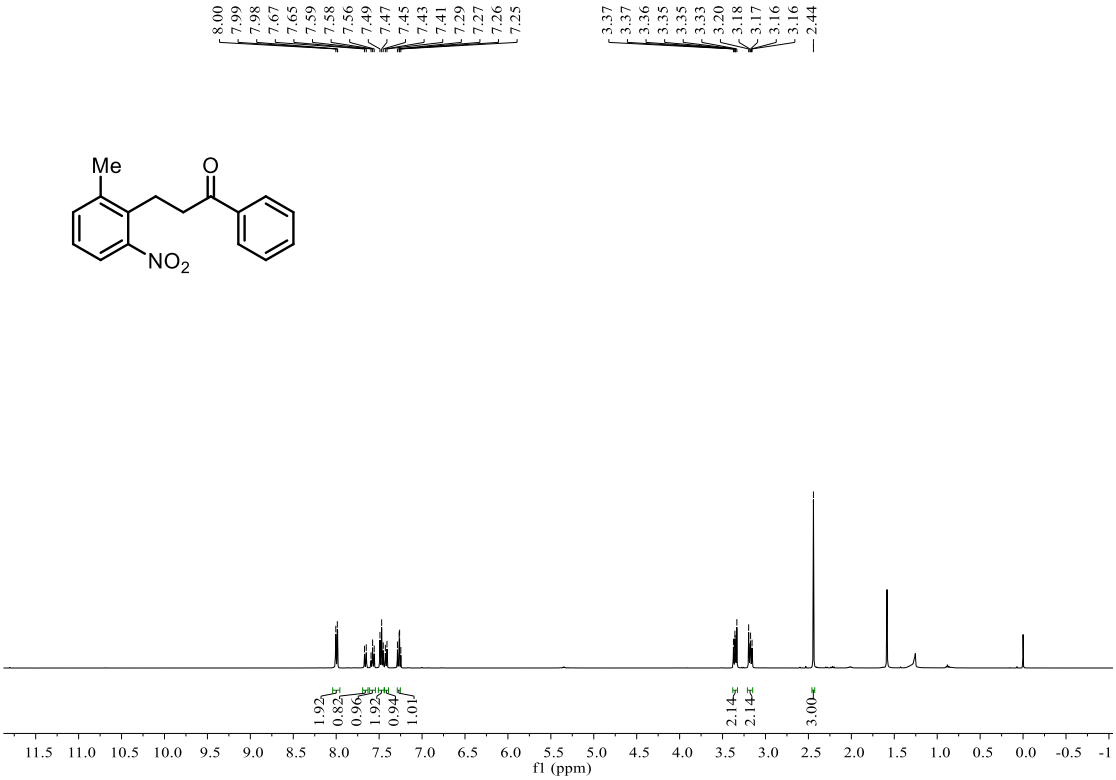
^{1}H NMR (400 MHz, $CDCl_3$) of 3-(2-nitrophenyl)-1-phenylpropan-1-one (**1**)



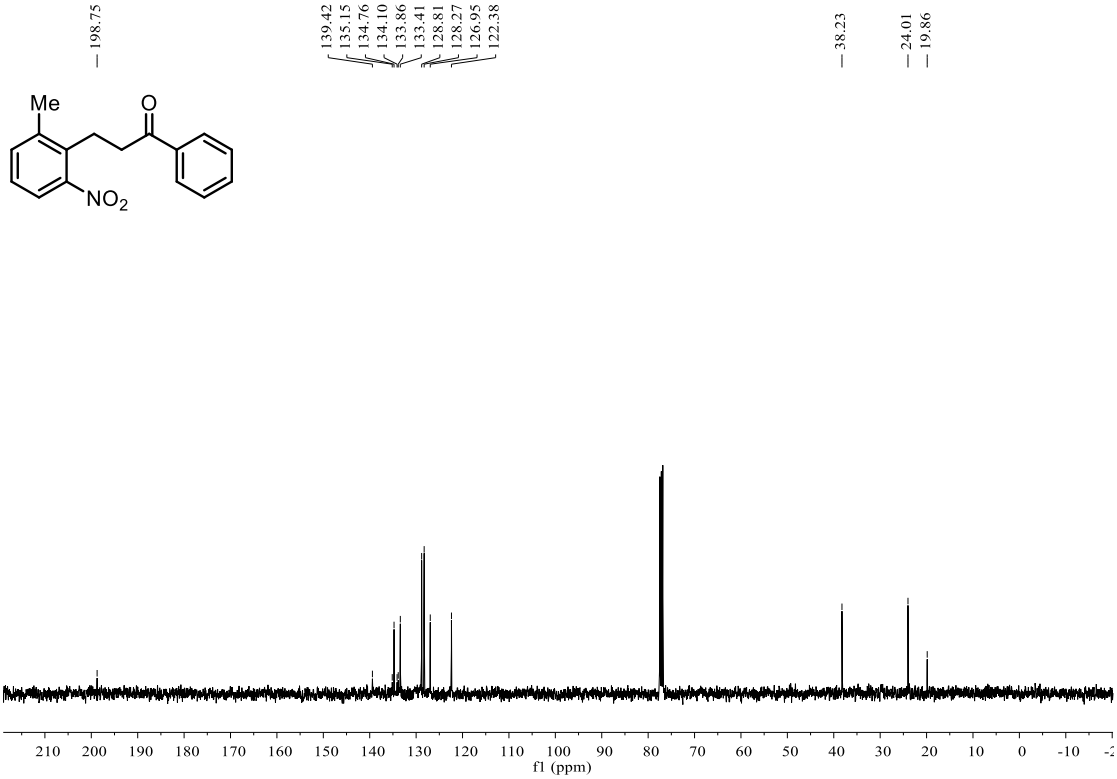
^{13}C NMR (101 MHz, $CDCl_3$) of 3-(2-nitrophenyl)-1-phenylpropan-1-one (**1**)



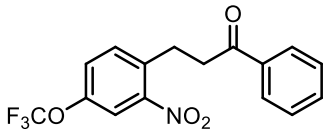
^{1}H NMR (400 MHz, $CDCl_3$) of 3-(2-methyl-6-nitrophenyl)-1-phenylpropan-1-one (**2**)

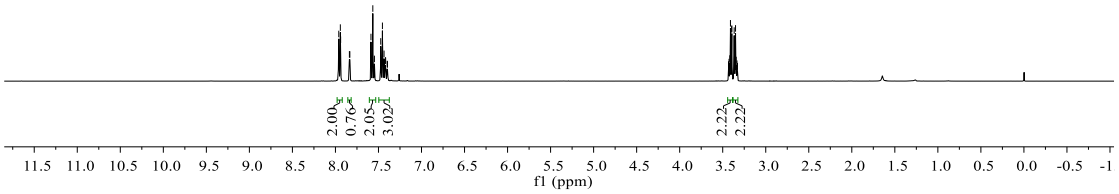


^{13}C NMR (101 MHz, $CDCl_3$) of 3-(2-methyl-6-nitrophenyl)-1-phenylpropan-1-one (**2**)

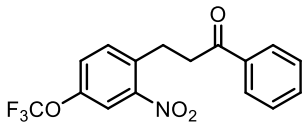


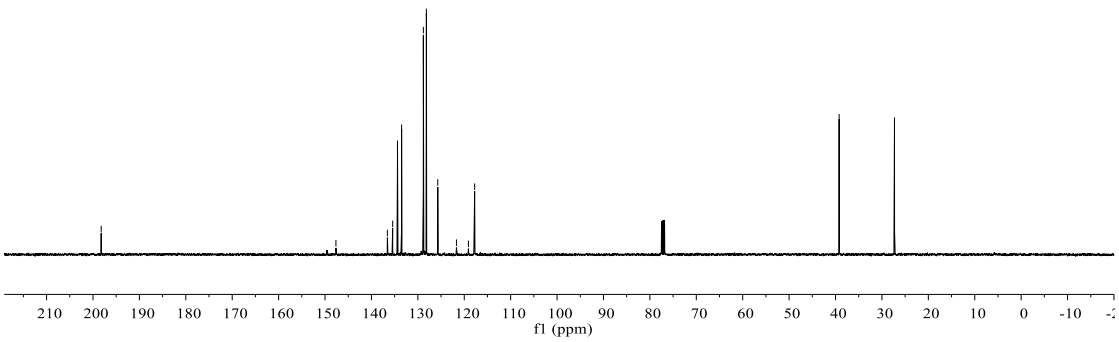
^{1}H NMR (400 MHz, $CDCl_3$) of 3-(2-nitro-4-(trifluoromethoxy)phenyl)-1-phenylpropan-1-one (**6a**)



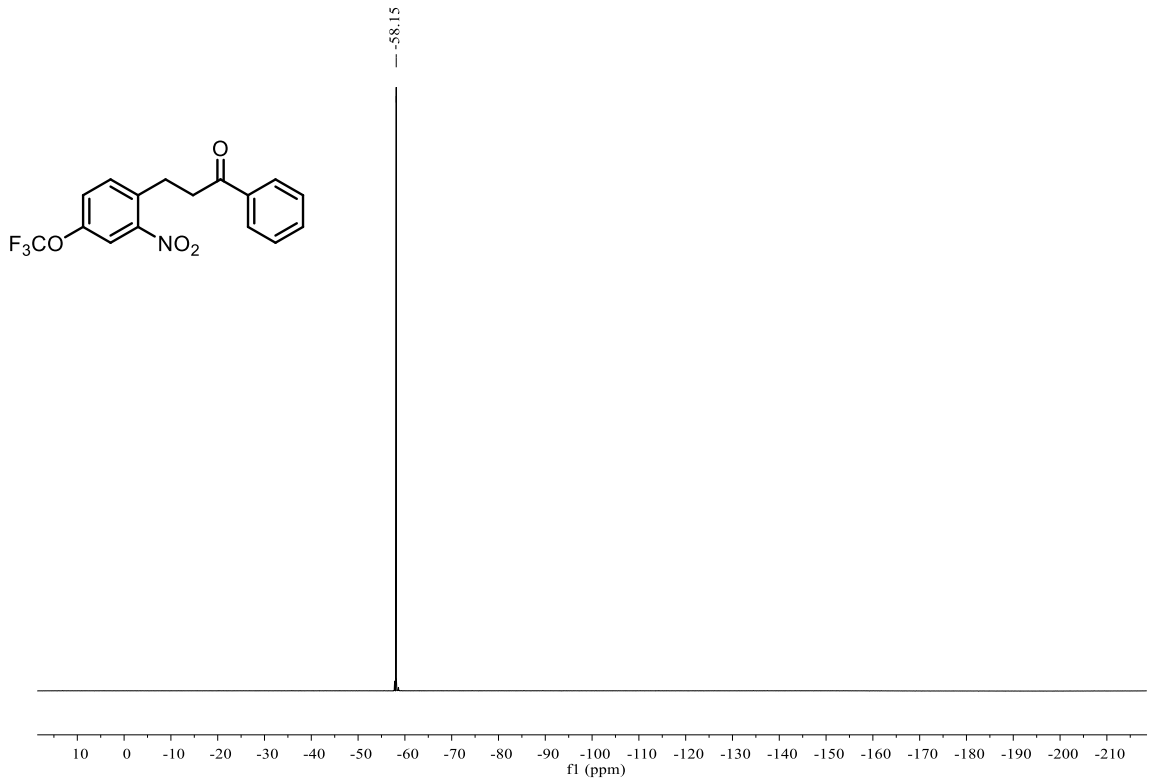


^{13}C NMR (101 MHz, $CDCl_3$) of 3-(2-nitro-4-(trifluoromethoxy)phenyl)-1-phenylpropan-1-one (**6**)

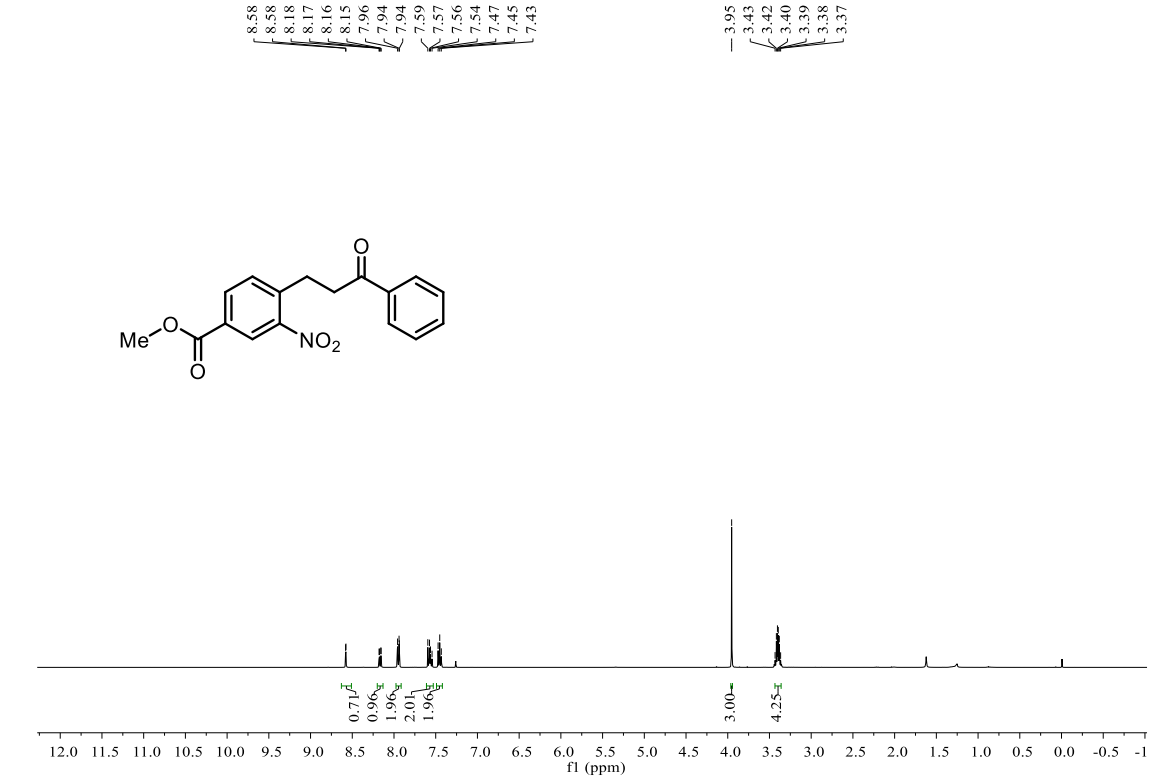




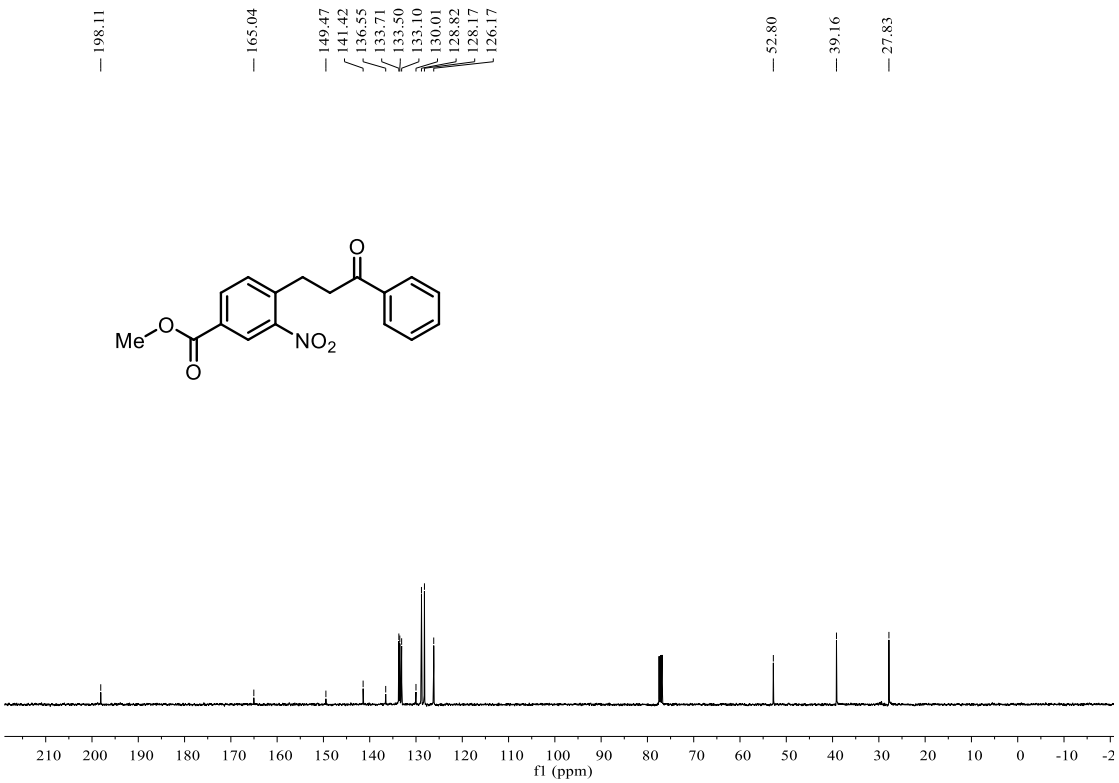
^{19}F NMR (376 MHz, $CDCl_3$) of 3-(2-nitro-4-(trifluoromethoxy)phenyl)-1-phenylpropan-1-one (**6**)



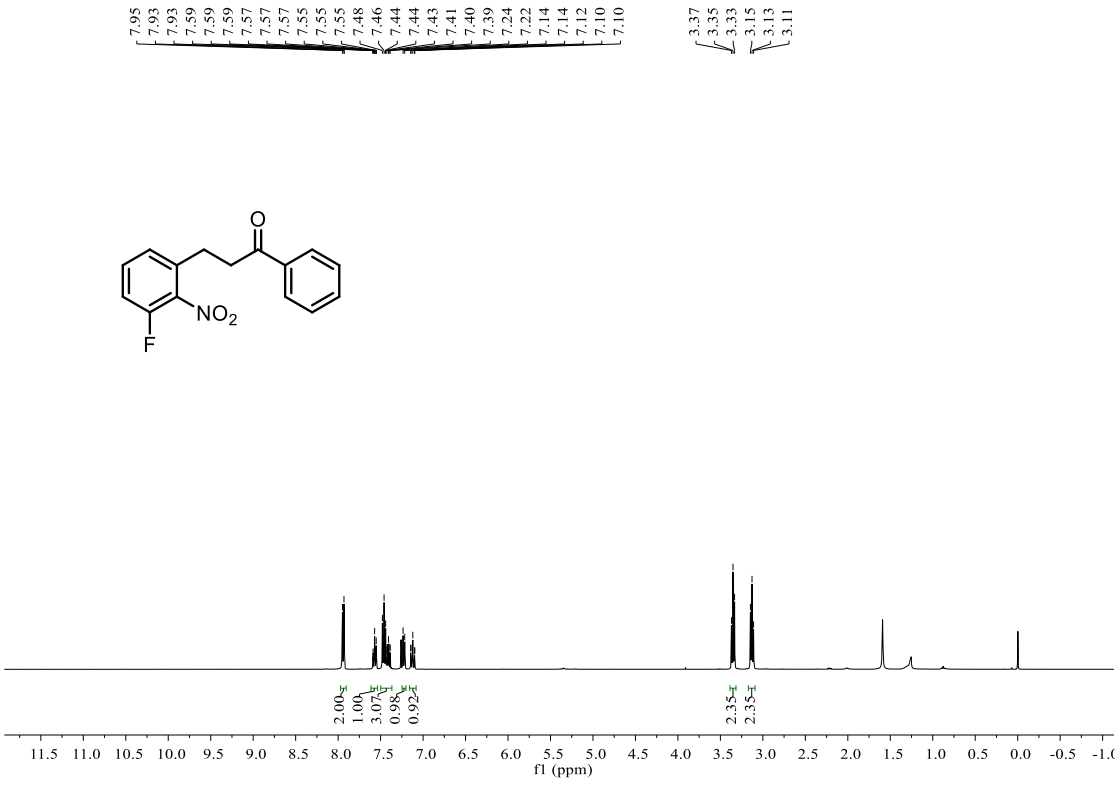
^{1}H NMR (400 MHz, $CDCl_3$) of methyl 3-nitro-4-(3-oxo-3-phenylpropyl)benzoate (**7**)



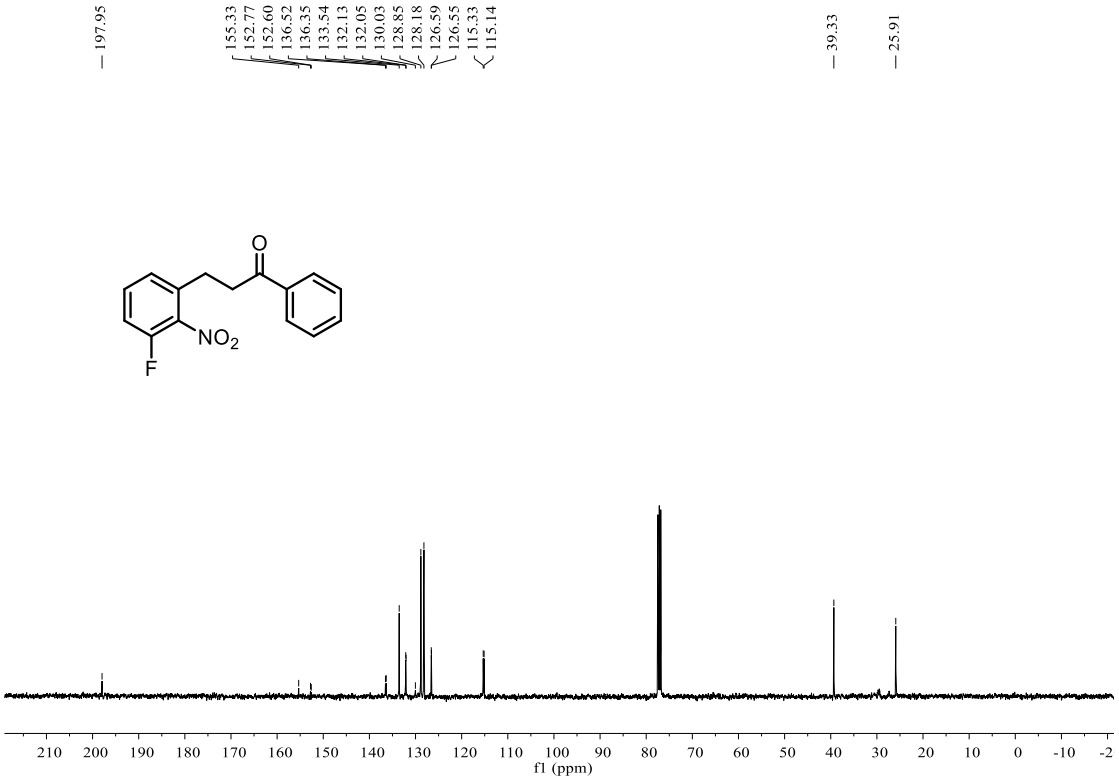
^{13}C NMR (101 MHz, $CDCl_3$) of methyl 3-nitro-4-(3-oxo-3-phenylpropyl)benzoate (**7a**)



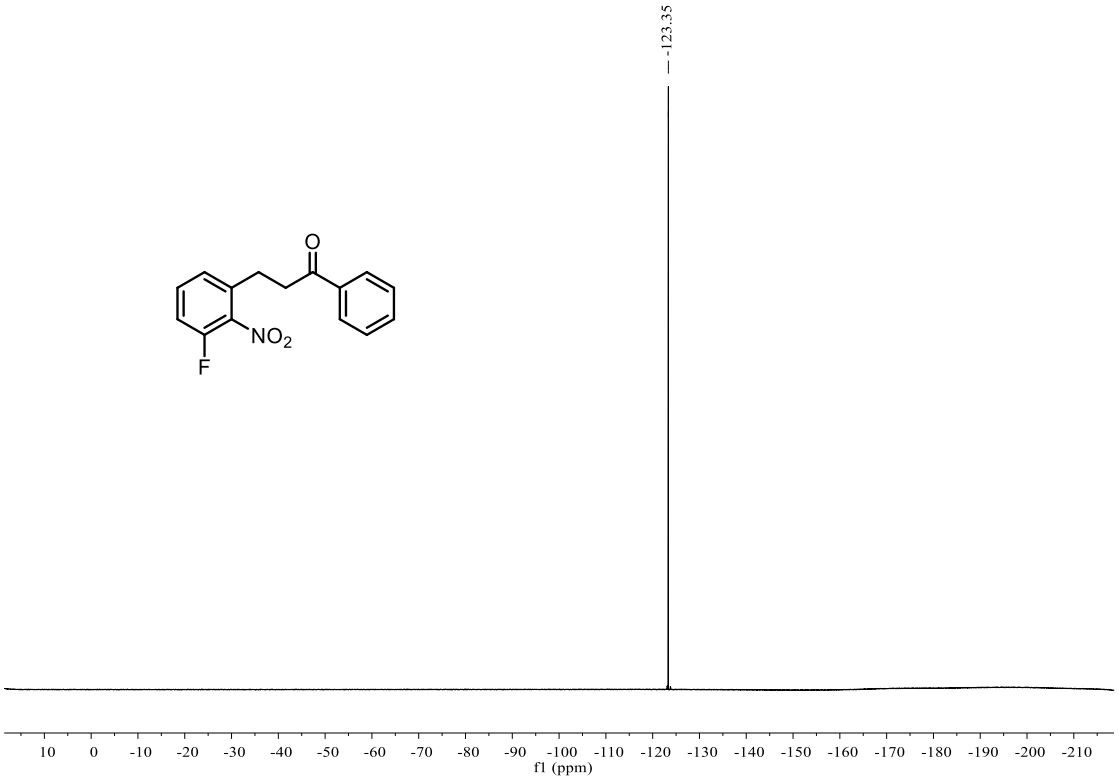
^{1}H NMR (400 MHz, $CDCl_3$) of 3-(2-nitrophenyl)-1-(*o*-tolyl)propan-1-one (**10**)



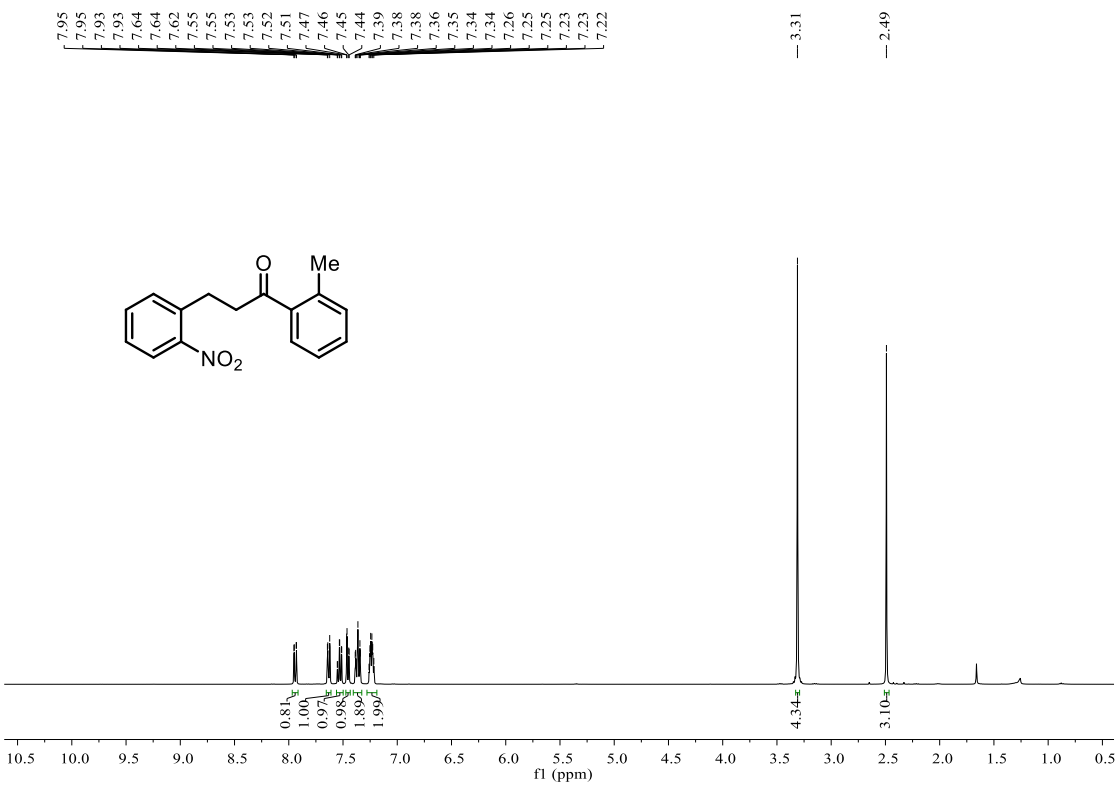
^{13}C NMR (101 MHz, $CDCl_3$) of 3-(2-nitrophenyl)-1-(*o*-tolyl)propan-1-one (**10**)



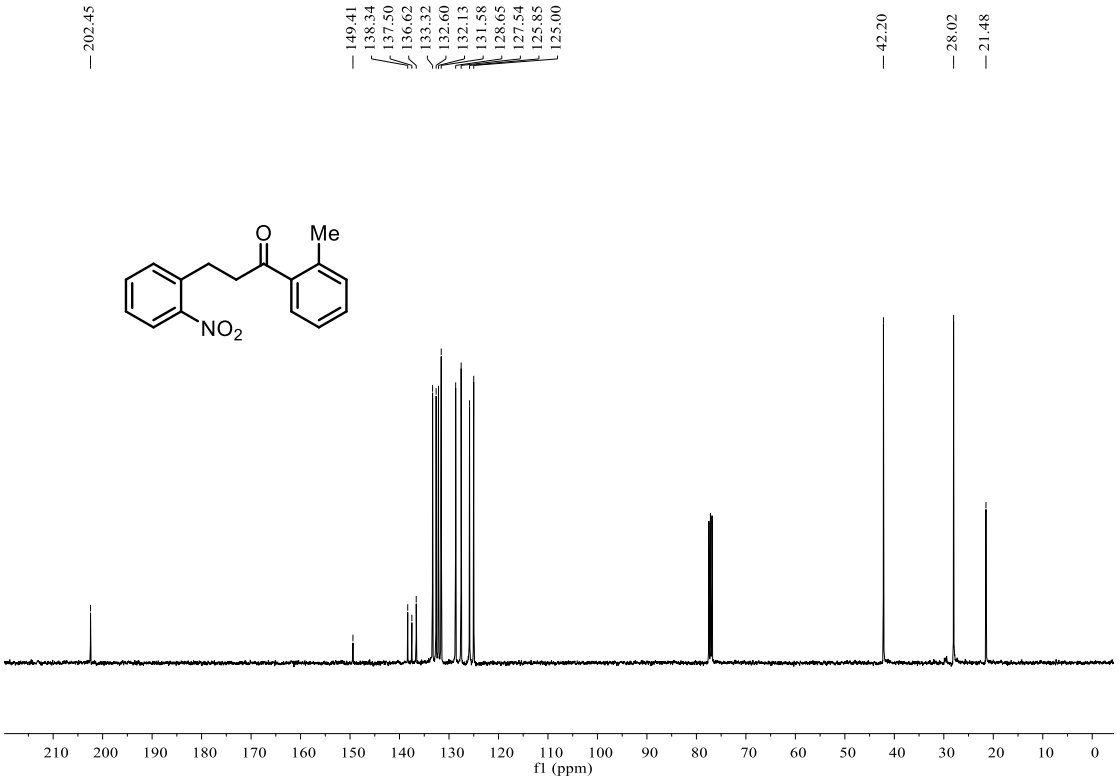
^{19}F NMR (376 MHz, $CDCl_3$) of 3-(2-nitrophenyl)-1-(*o*-tolyl)propan-1-one (**10**)



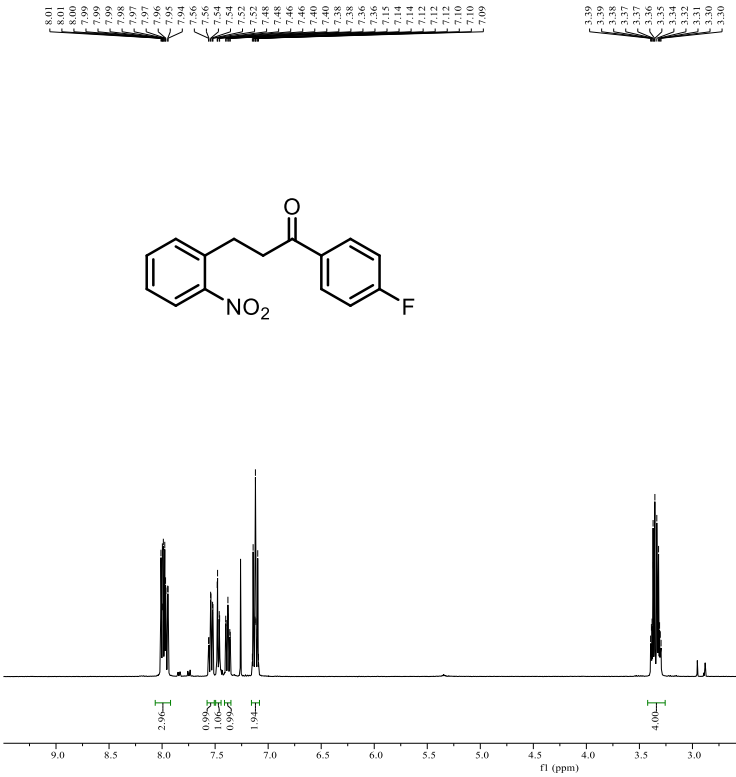
^{1}H NMR (400 MHz, $CDCl_3$) of 3-(3-fluoro-2-nitrophenyl)-1-phenylpropan-1-one (**14a**)



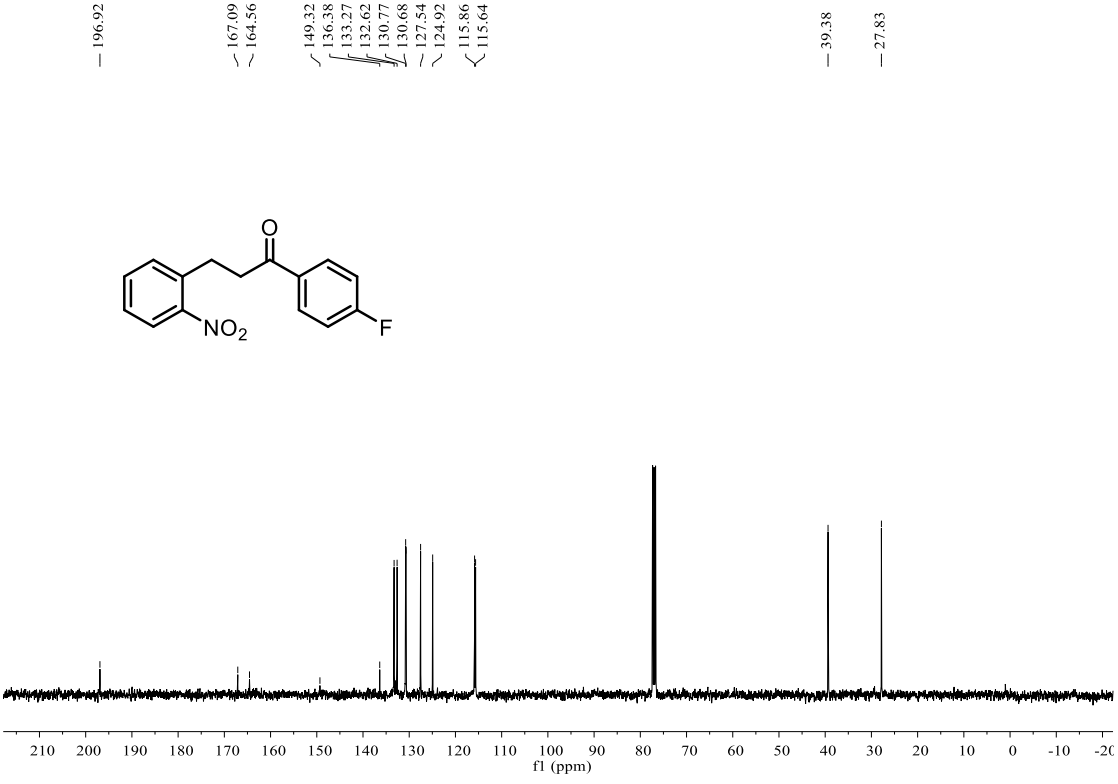
^{13}C NMR (101 MHz, $CDCl_3$) of 3-(3-fluoro-2-nitrophenyl)-1-phenylpropan-1-one (**14**)



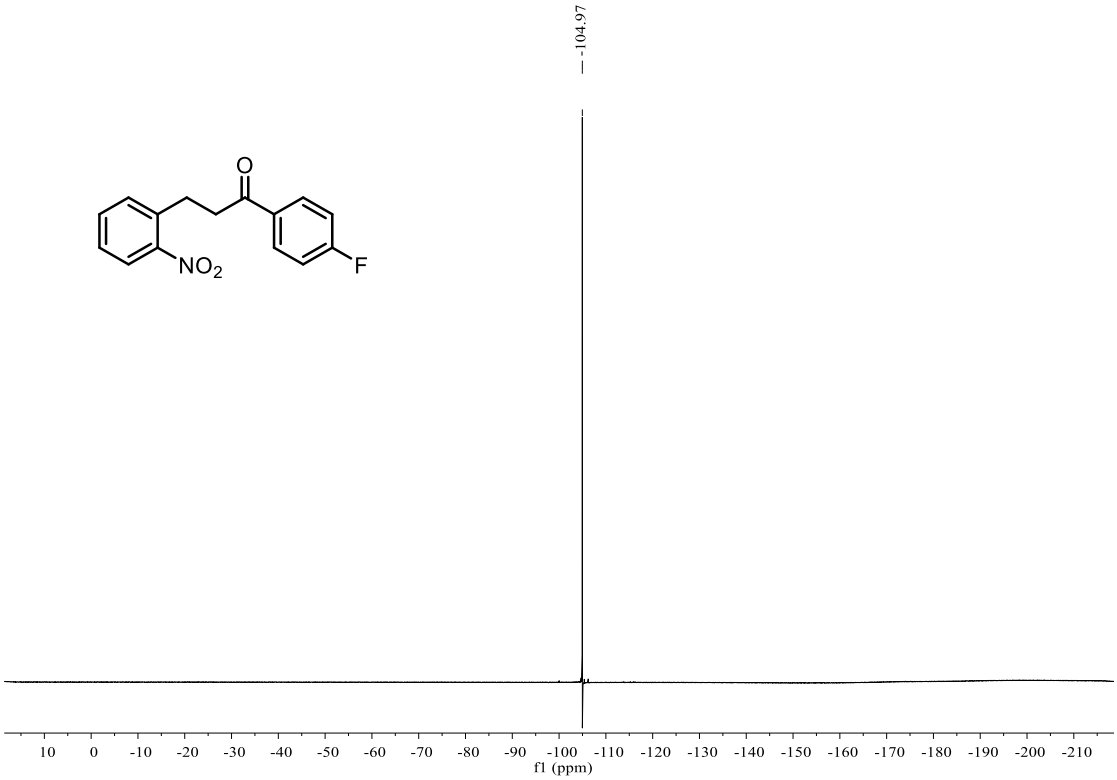
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(4-fluorophenyl)-3-(2-nitrophenyl)propan-1-one (**18a**)



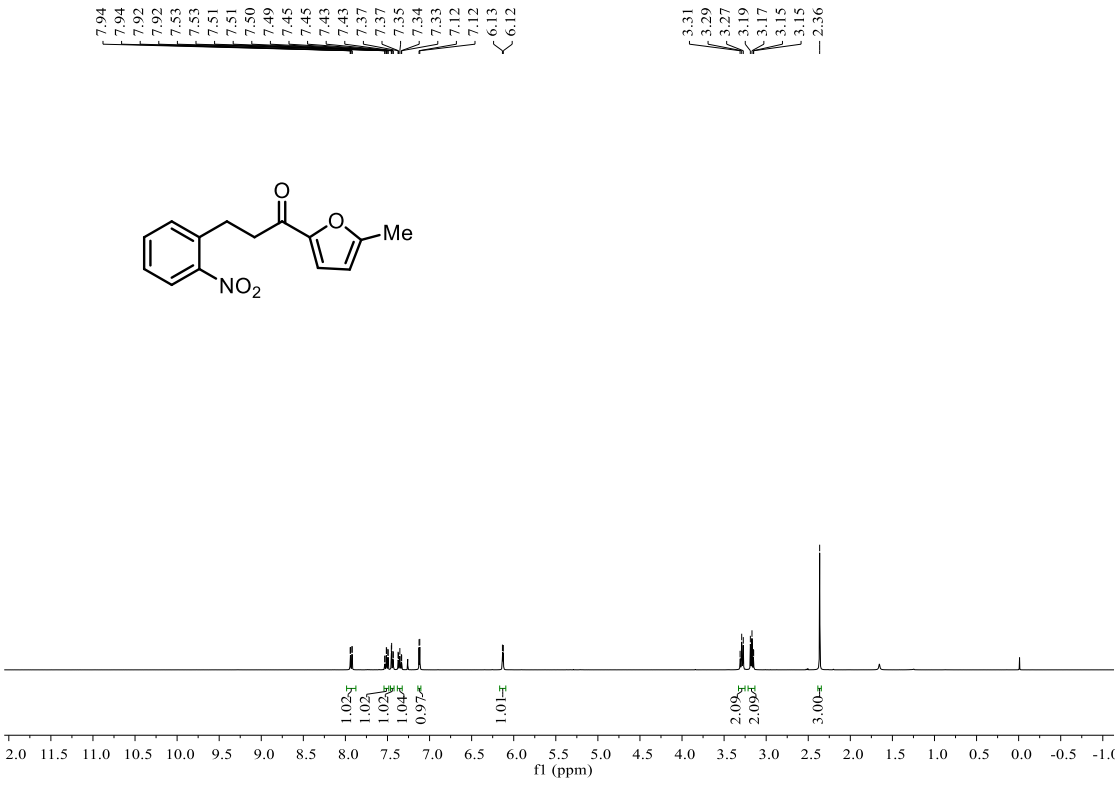
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(4-fluorophenyl)-3-(2-nitrophenyl)propan-1-one (**18**)



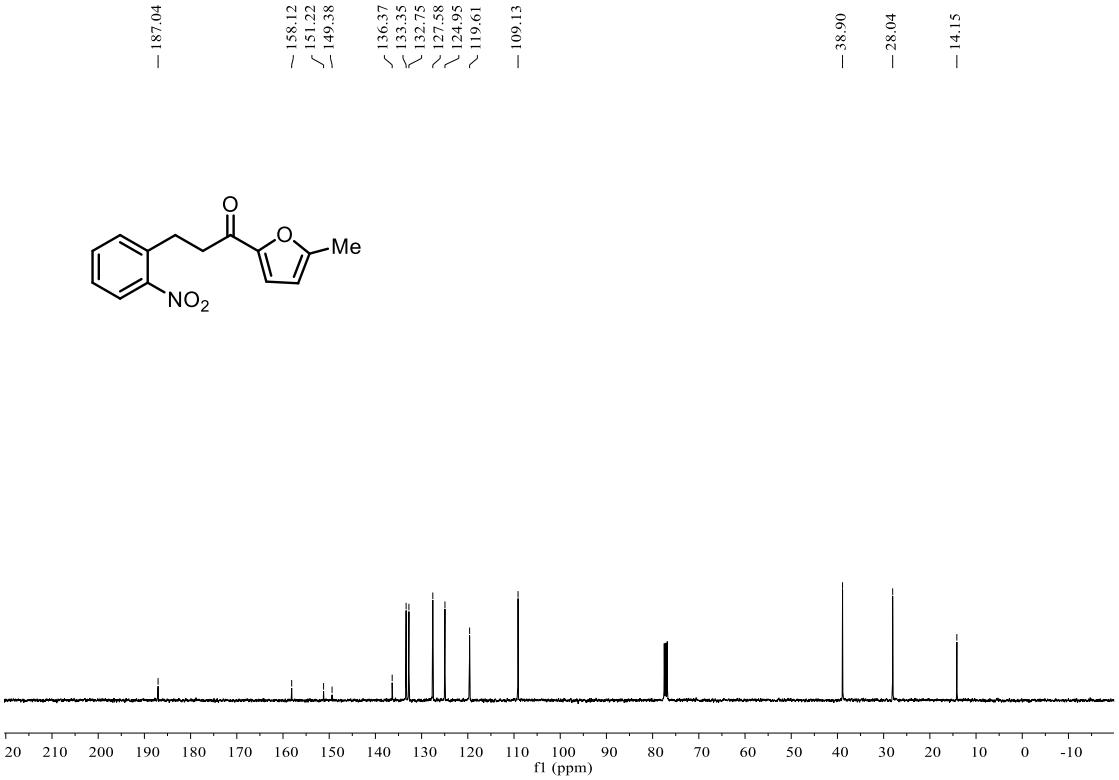
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(4-fluorophenyl)-3-(2-nitrophenyl)propan-1-one (**18a**)



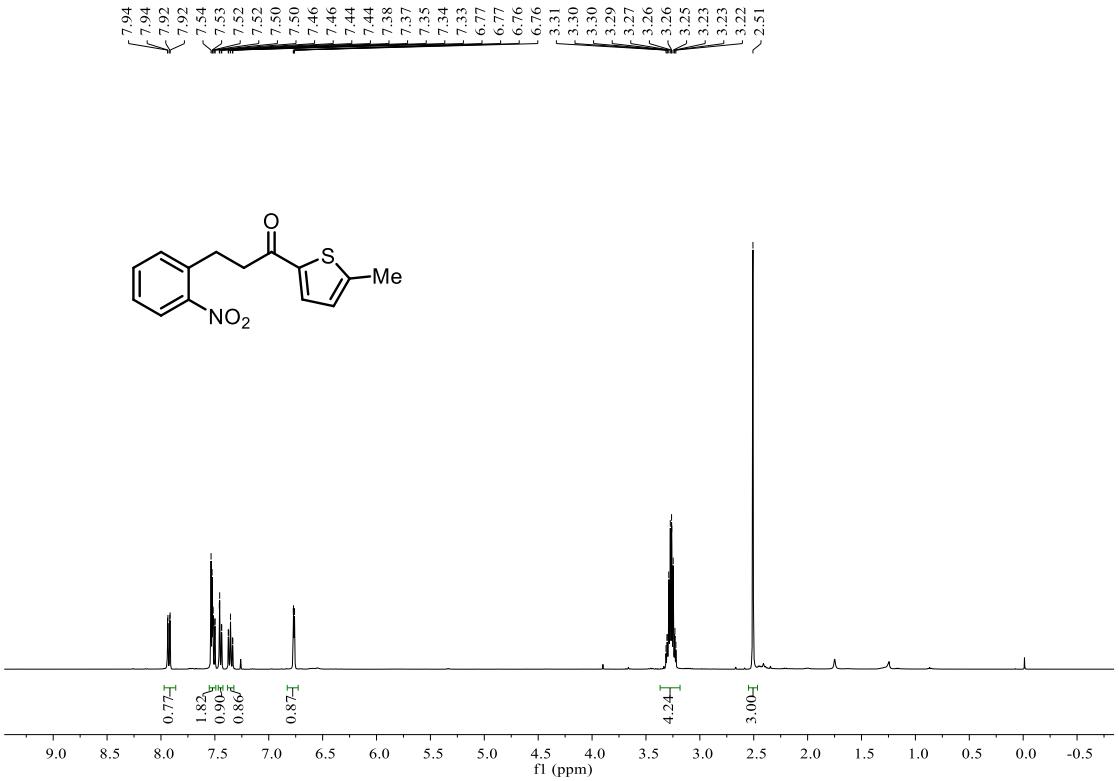
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(5-methylfuran-2-yl)-3-(2-nitrophenyl)propan-1-one (**22**)



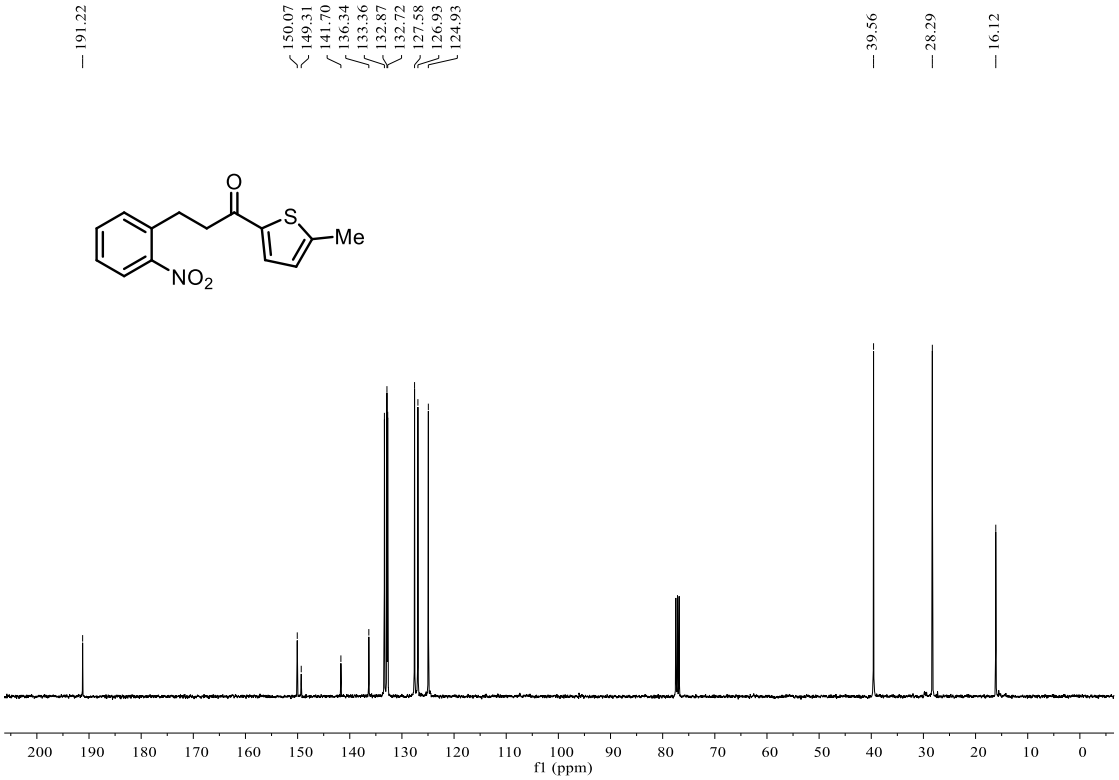
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(5-methylfuran-2-yl)-3-(2-nitrophenyl)propan-1-one (**22**)



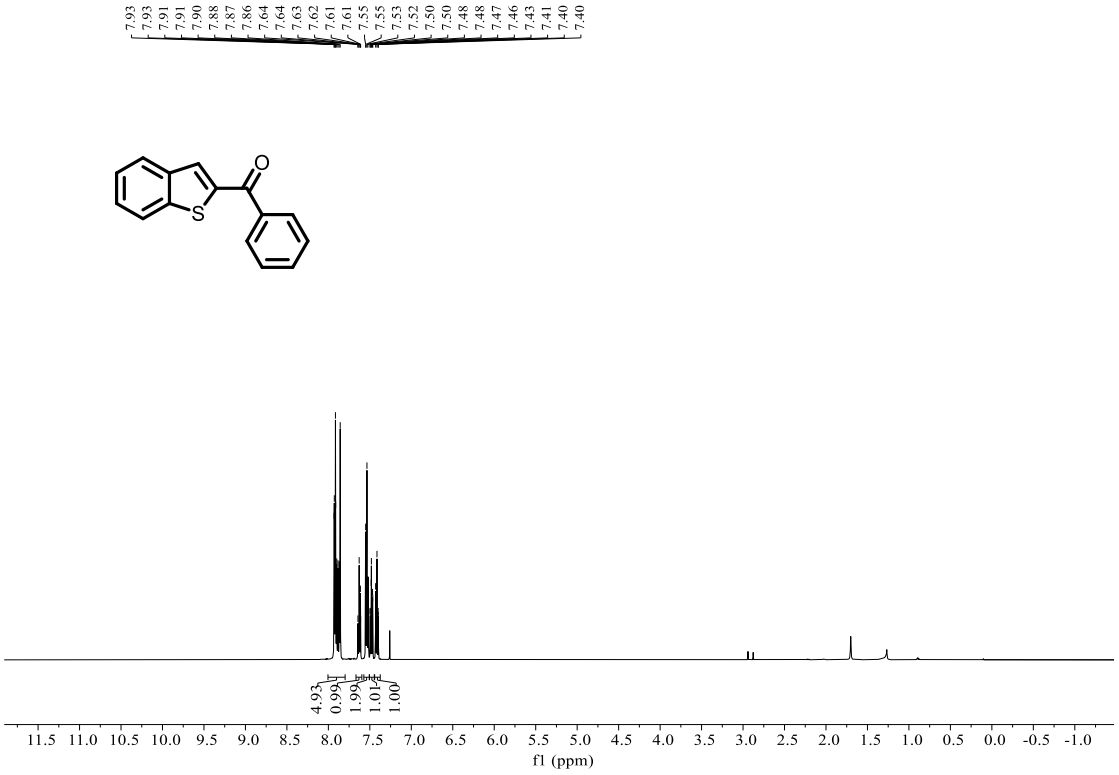
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(5-methylthiophen-2-yl)-3-(2-nitrophenyl)propan-1-one (**23**)



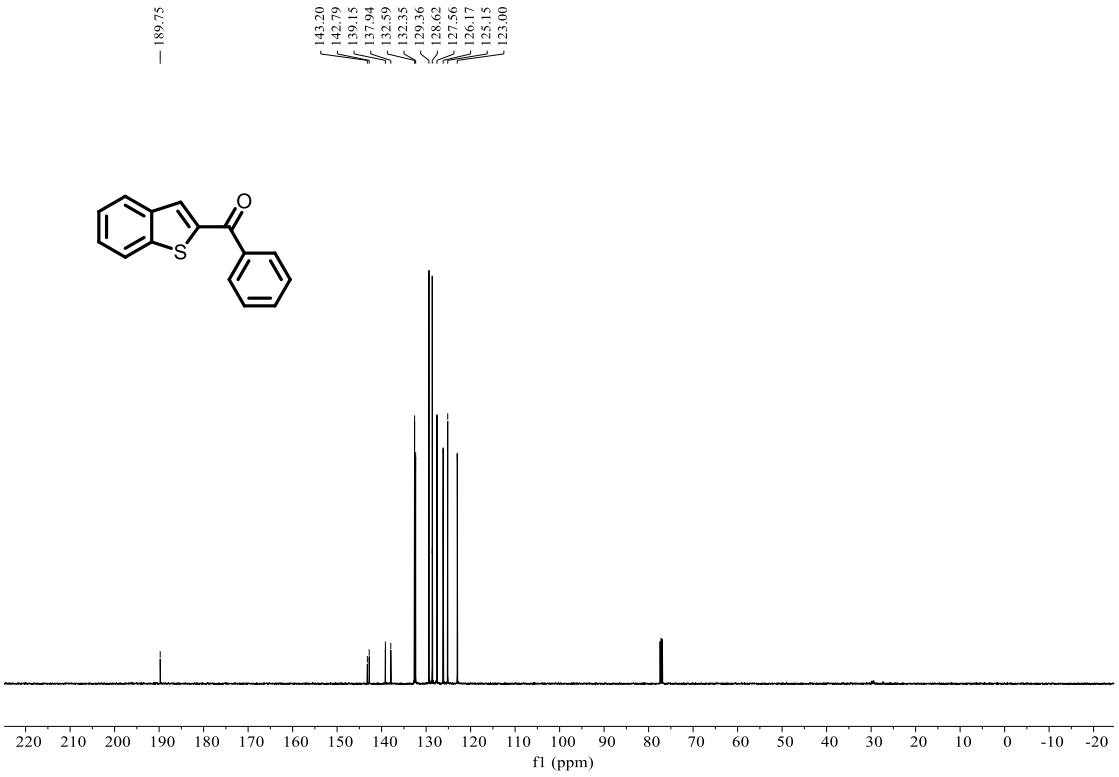
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(5-methylthiophen-2-yl)-3-(2-nitrophenyl)propan-1-one (**23a**)



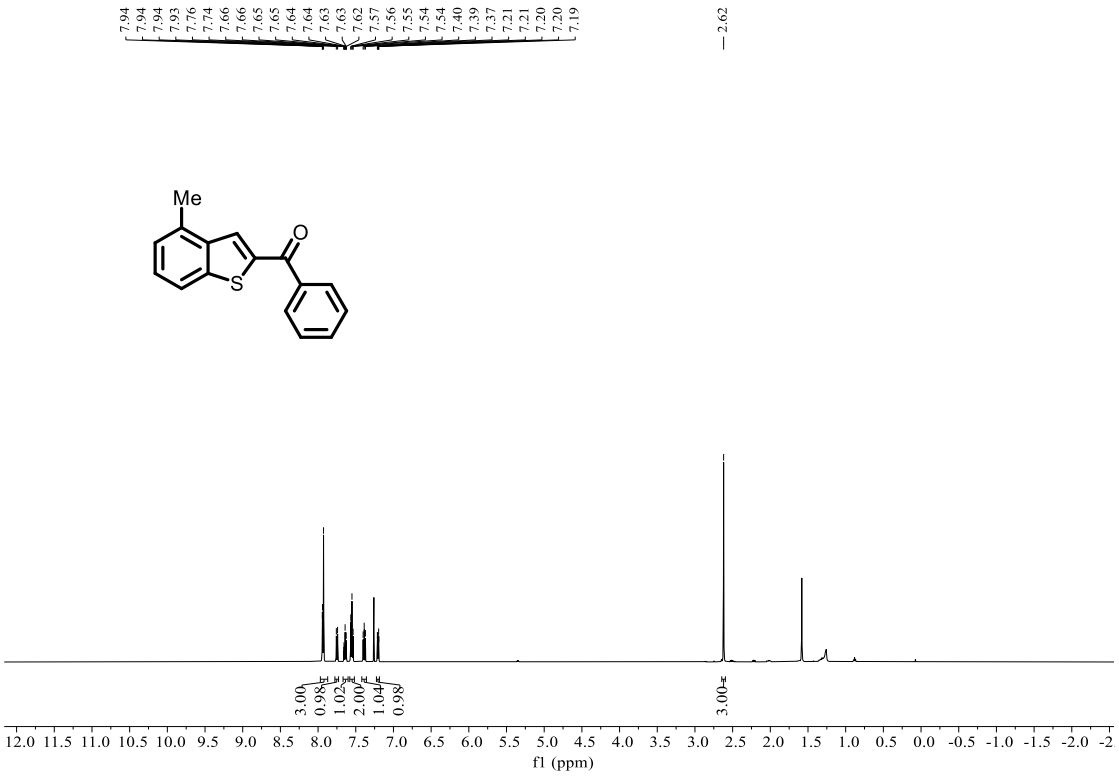
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(phenyl)methanone (**1a**)



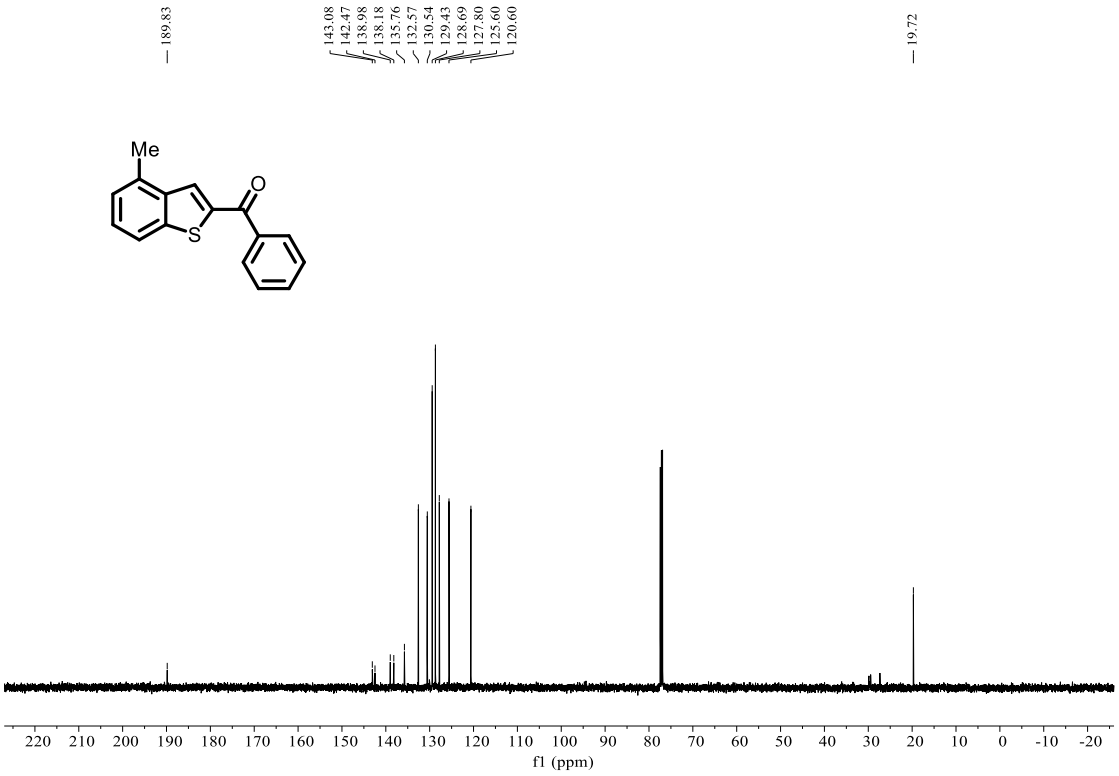
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(phenyl)methanone (**1a**)



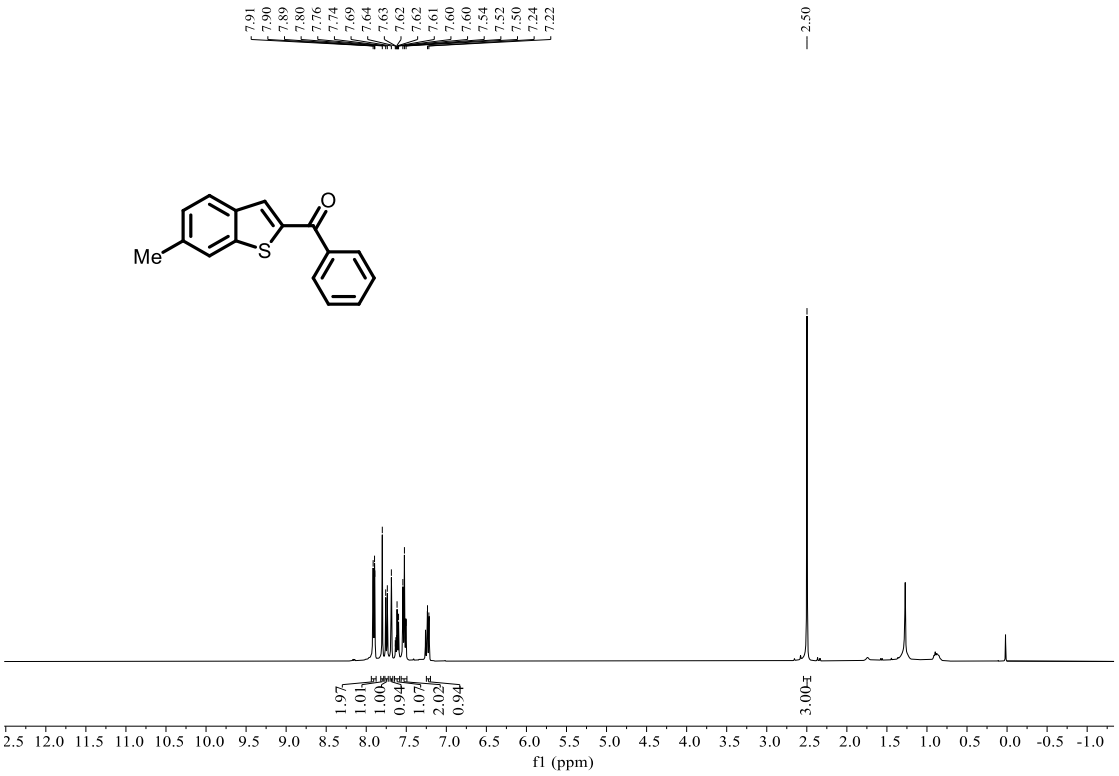
^{1}H NMR (400 MHz, $CDCl_3$) of (4-methylbenzo[*b*]thiophen-2-yl)(phenyl)methanone (**2a**)



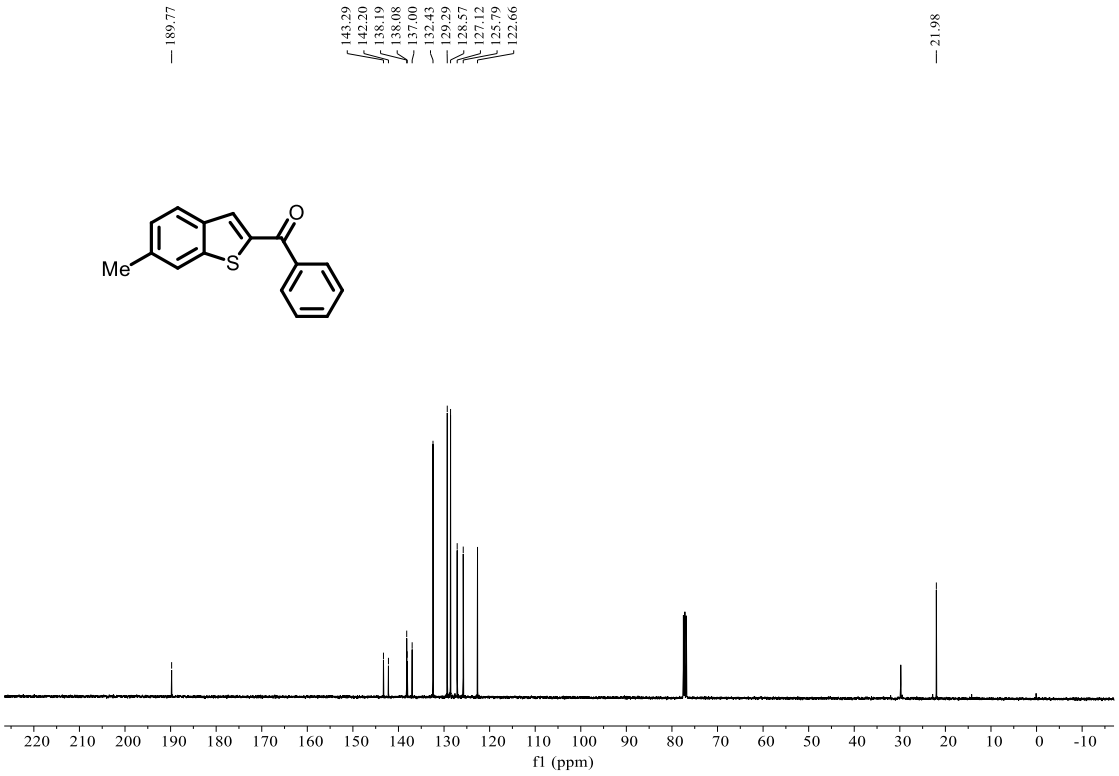
^{13}C NMR (101 MHz, $CDCl_3$) of (4-methylbenzo[*b*]thiophen-2-yl)(phenyl)methanone (**2a**)



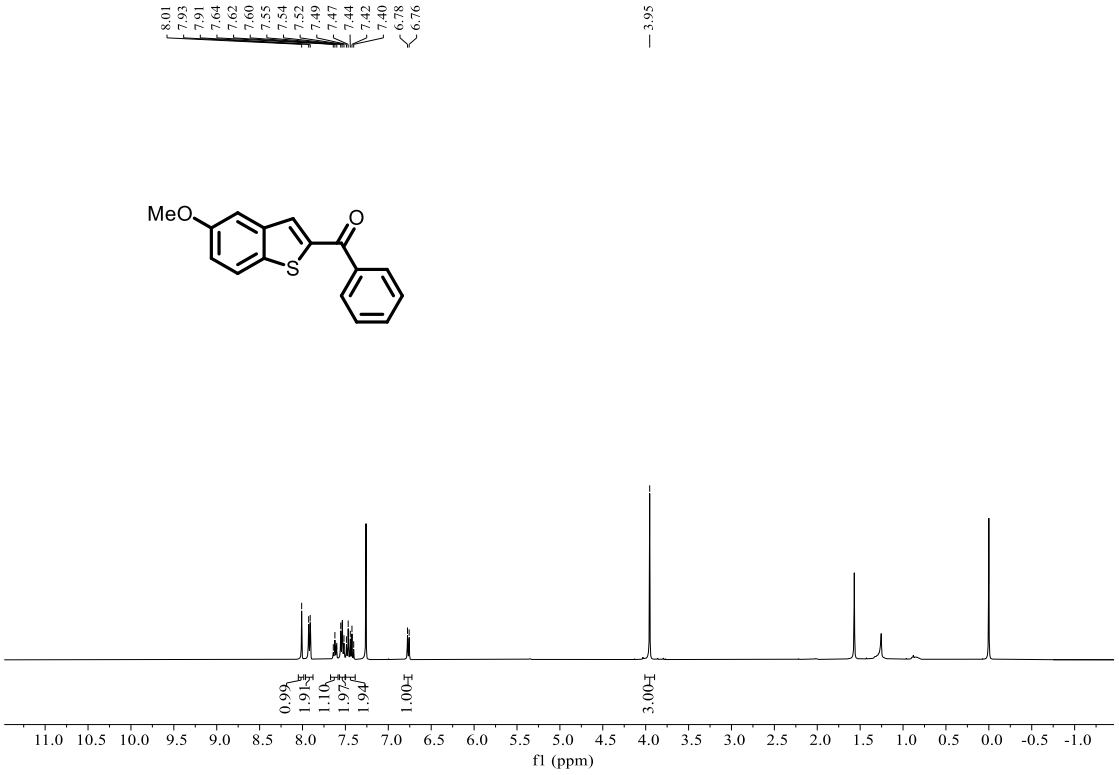
^{1}H NMR (400 MHz, $CDCl_3$) of (6-methylbenzo[*b*]thiophen-2-yl)(phenyl)methanone (**3a**)



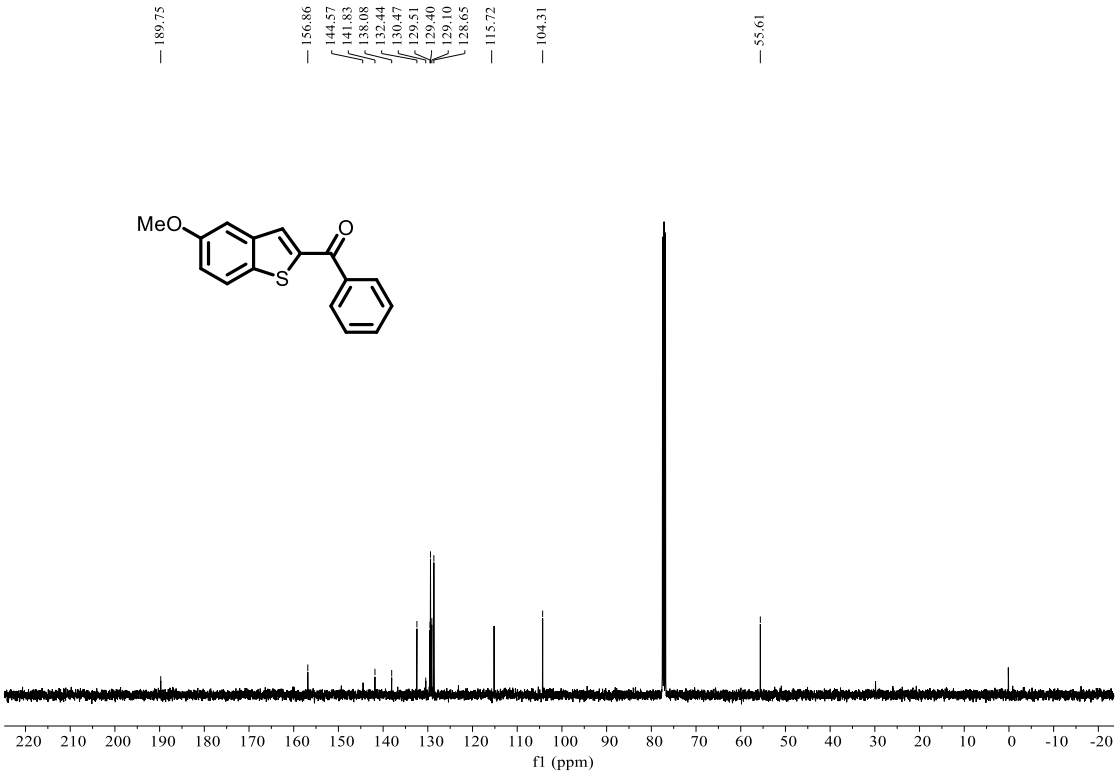
^{13}C NMR (101 MHz, $CDCl_3$) of (6-methylbenzo[*b*]thiophen-2-yl)(phenyl)methanone (**3a**)



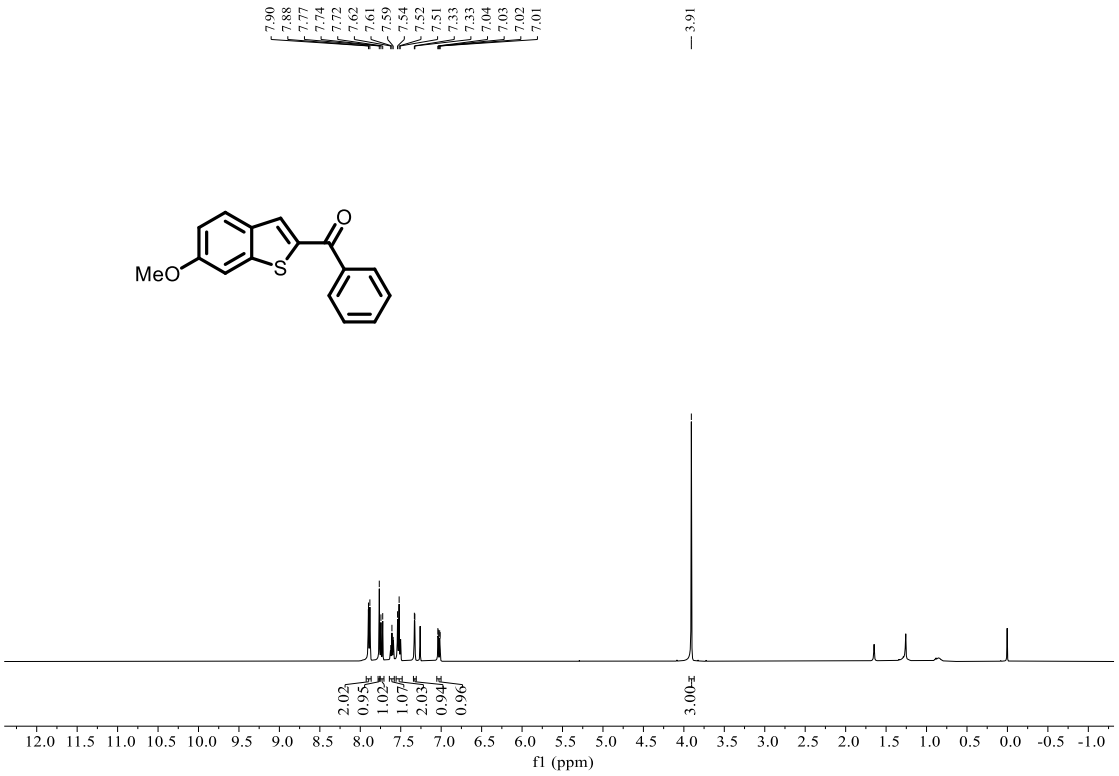
^{1}H NMR (400 MHz, $CDCl_3$) of (5-methoxybenzo[*b*]thiophen-2-yl)(phenyl)methanone (**4a**)



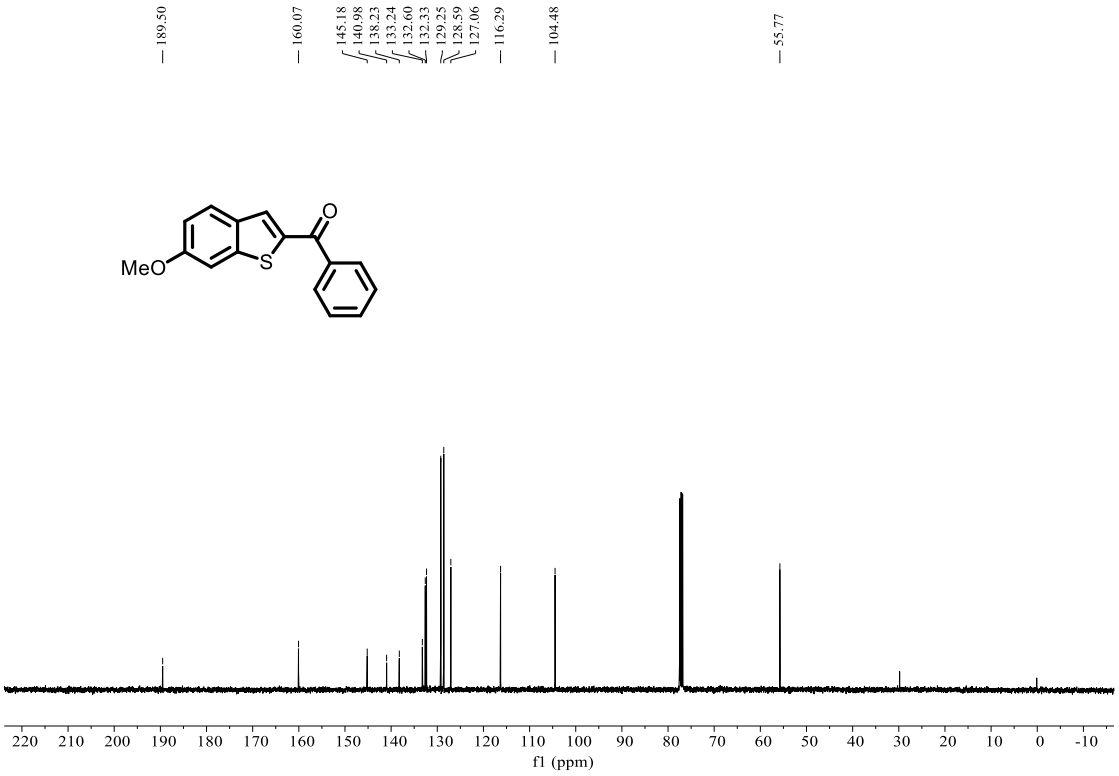
^{13}C NMR (101 MHz, $CDCl_3$) of (5-methoxybenzo[*b*]thiophen-2-yl)(phenyl)methanone (**4a**)



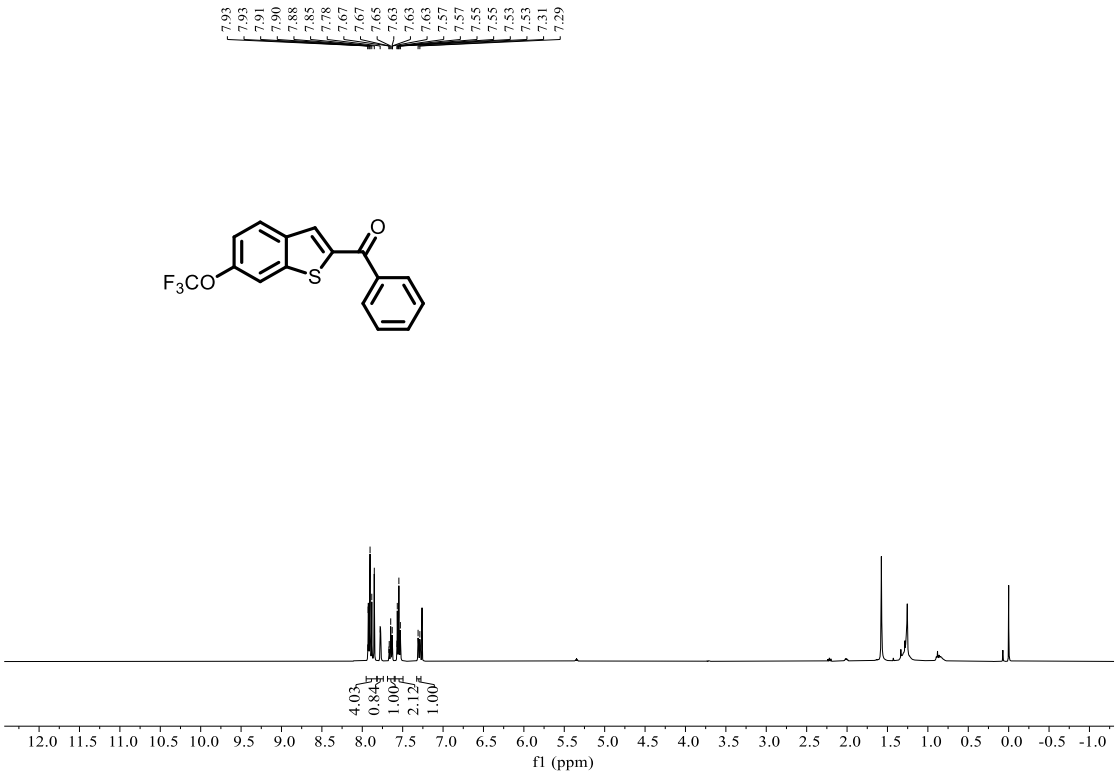
^{1}H NMR (400 MHz, $CDCl_3$) of (6-methoxybenzo[*b*]thiophen-2-yl)(phenyl)methanone (**5a**)



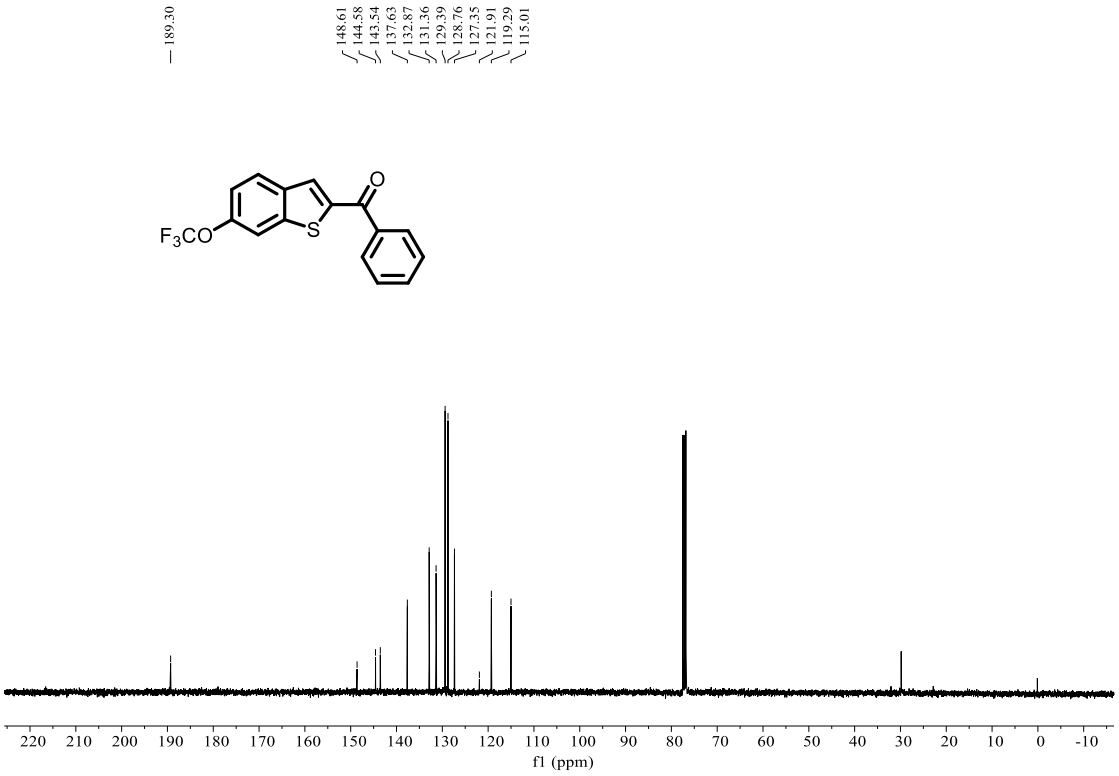
^{13}C NMR (101 MHz, $CDCl_3$) of (6-methoxybenzo[*b*]thiophen-2-yl)(phenyl)methanone (**5a**)



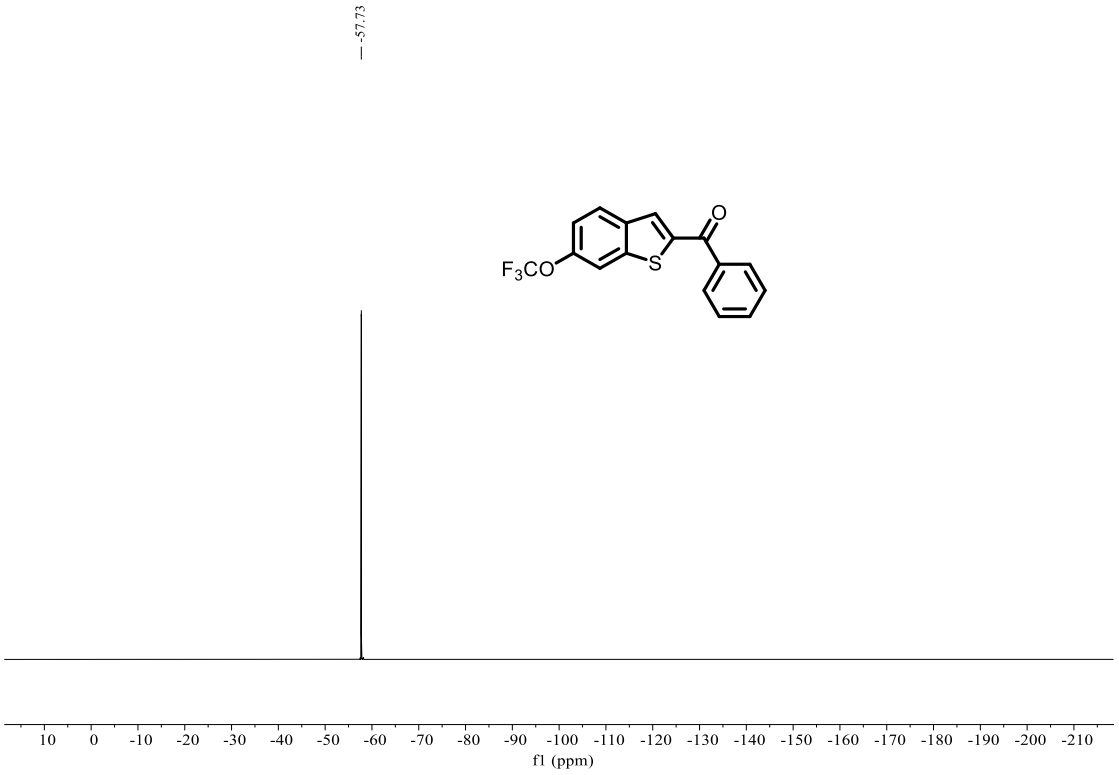
^{1}H NMR (400 MHz, $CDCl_3$) of phenyl(6-(trifluoromethoxy)benzo[*b*]thiophen-2-yl) methanone (**6a**)



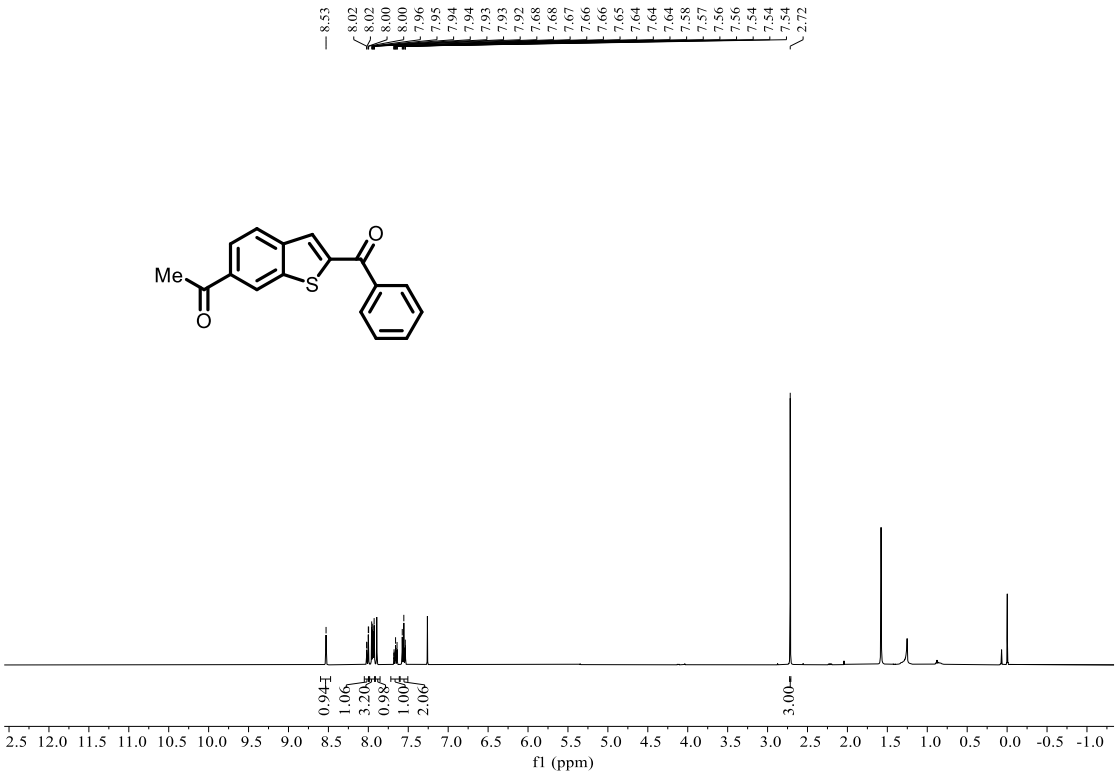
^{13}C NMR (101 MHz, $CDCl_3$) of phenyl(6-(trifluoromethoxy)benzo[*b*]thiophen-2-yl) methanone (**6a**)



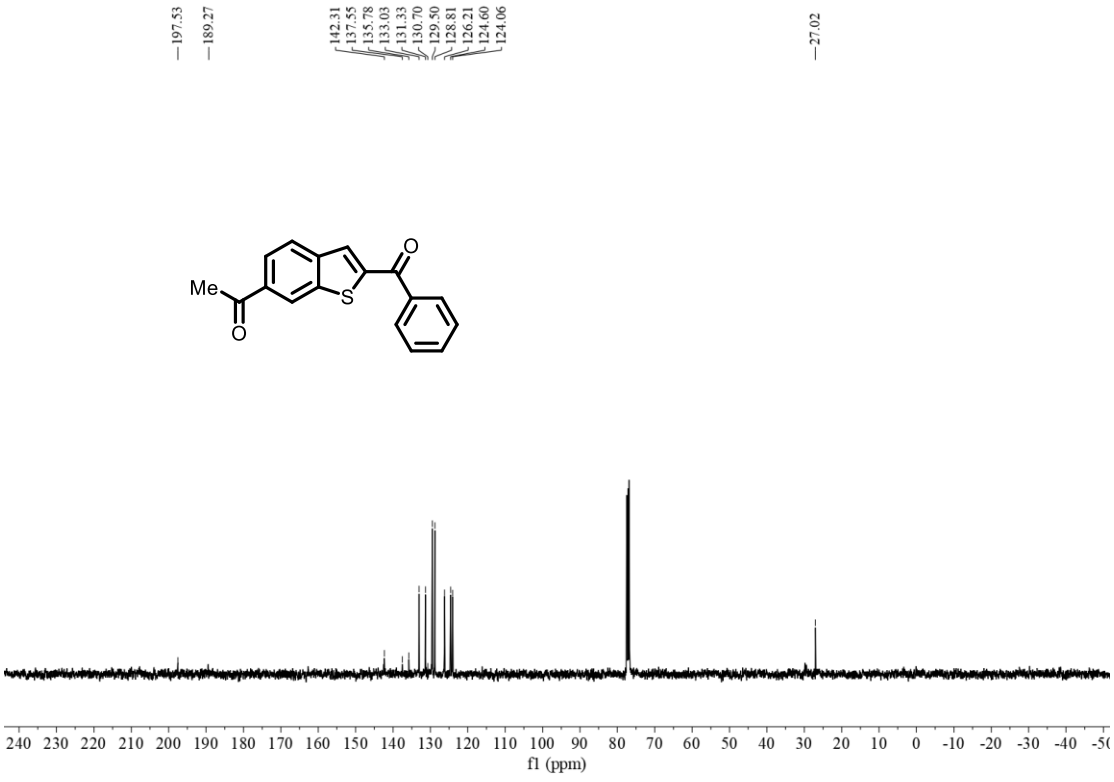
^{19}F NMR (376 MHz, $CDCl_3$) of phenyl(6-(trifluoromethoxy)benzo[*b*]thiophen-2-yl) methanone (**6a**)



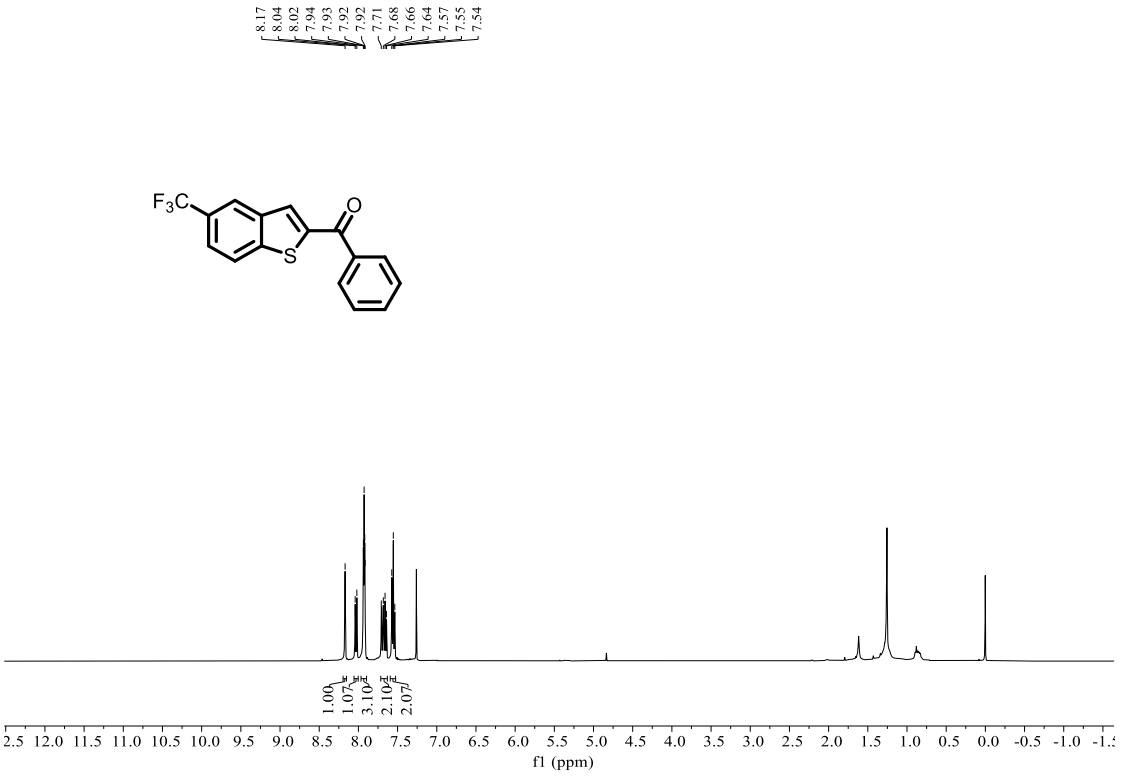
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-benzoylbenzo[*b*]thiophen-6-yl)ethan-1-one (**7a**)



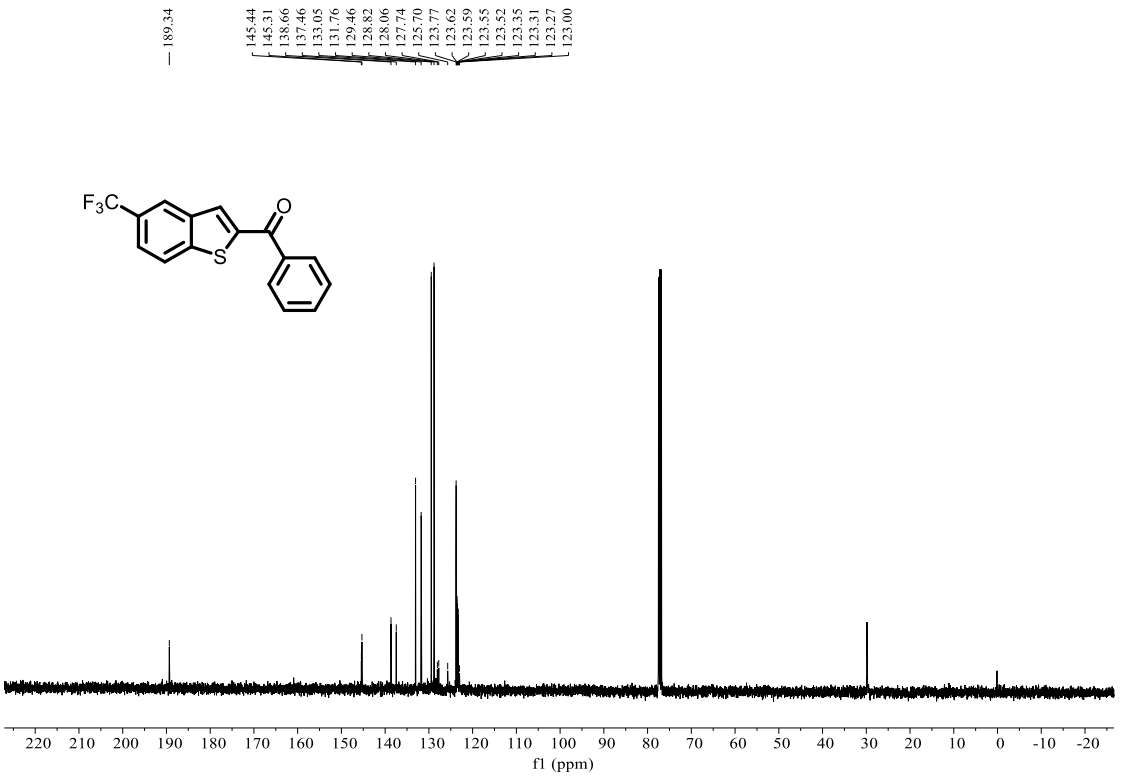
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-benzoylbenzo[*b*]thiophen-6-yl)ethan-1-one (**7a**)



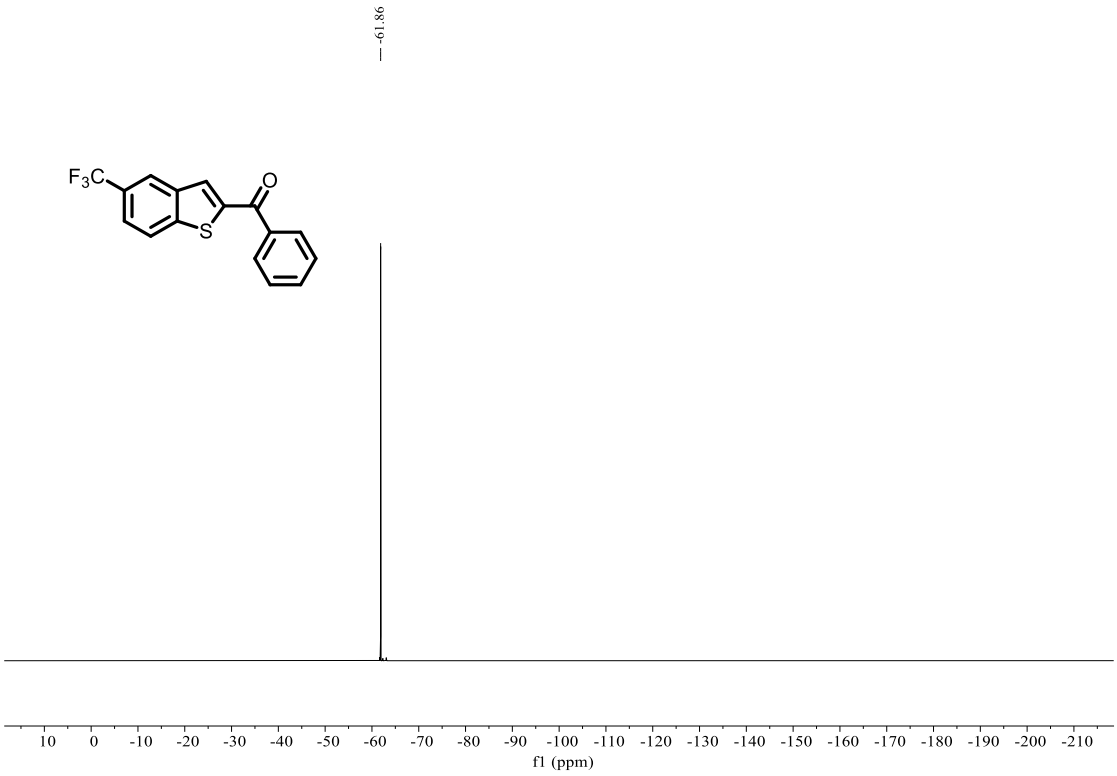
^{1}H NMR (400 MHz, $CDCl_3$) of phenyl(5-(trifluoromethyl)benzo[*b*]thiophen-2-yl)methanone (**8a**)



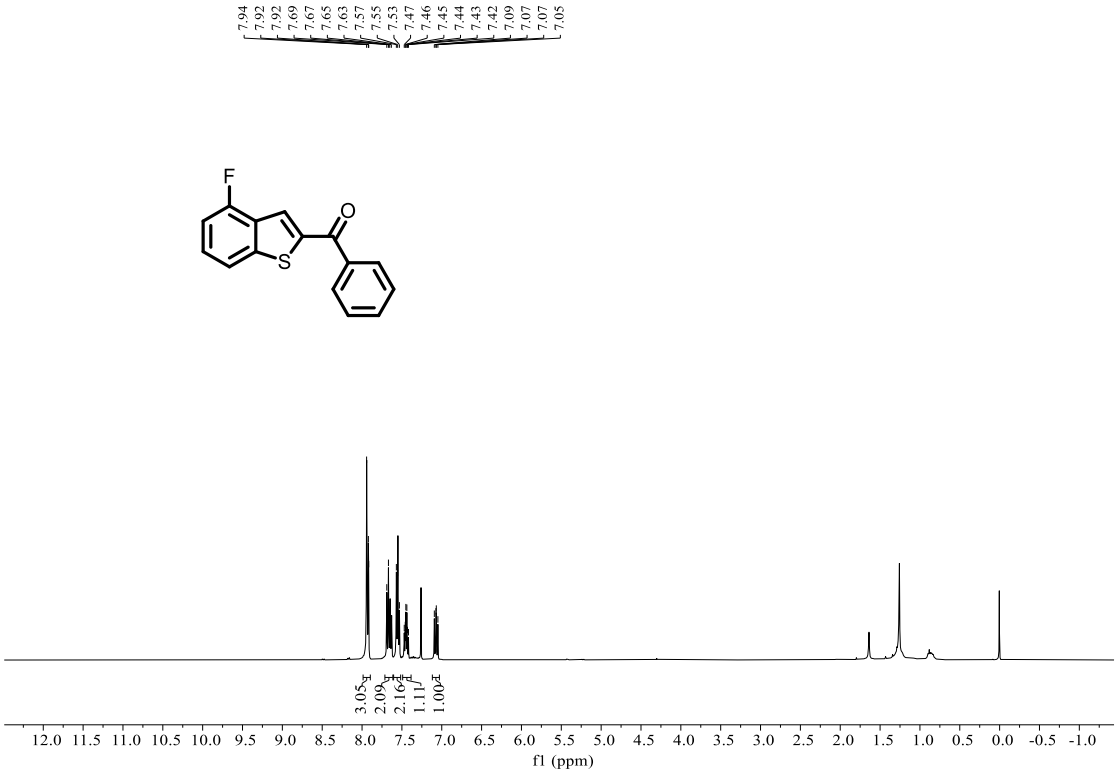
^{13}C NMR (101 MHz, $CDCl_3$) of phenyl(5-(trifluoromethyl)benzo[*b*]thiophen-2-yl)methanone (**8a**)



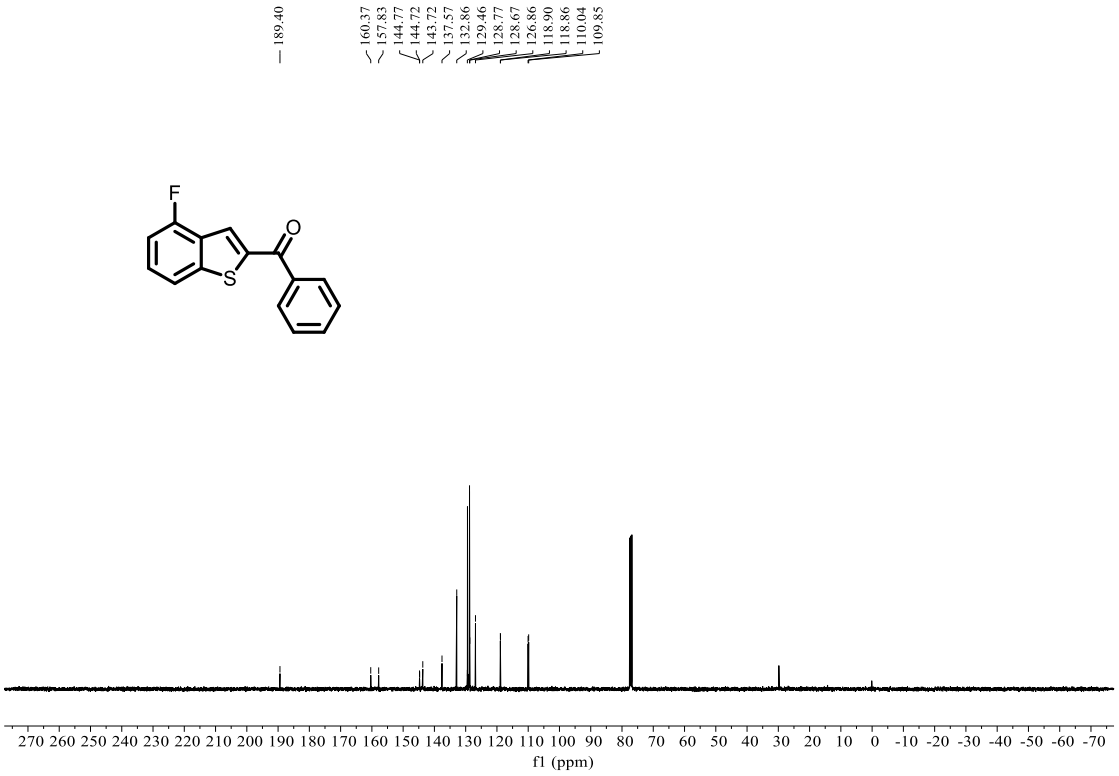
^{19}F NMR (376 MHz, $CDCl_3$) of phenyl(5-(trifluoromethyl)benzo[*b*]thiophen-2-yl)methanone (**8a**)



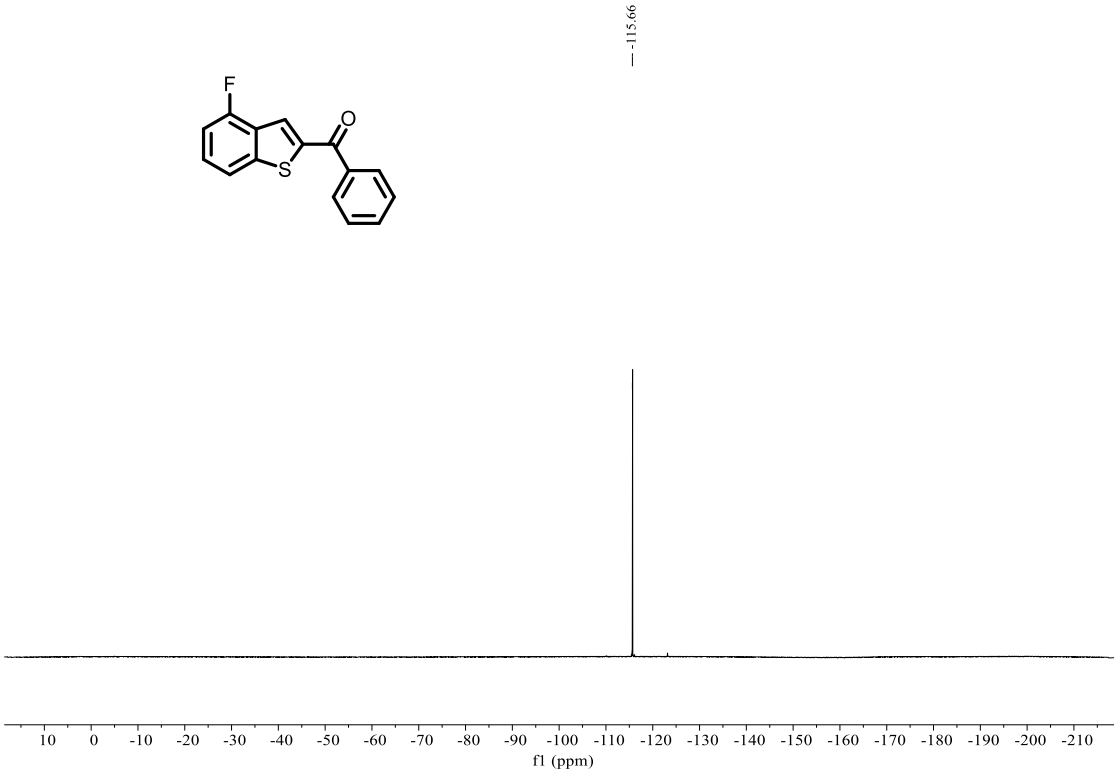
^{1}H NMR (400 MHz, $CDCl_3$) of (4-fluorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (**9a**)



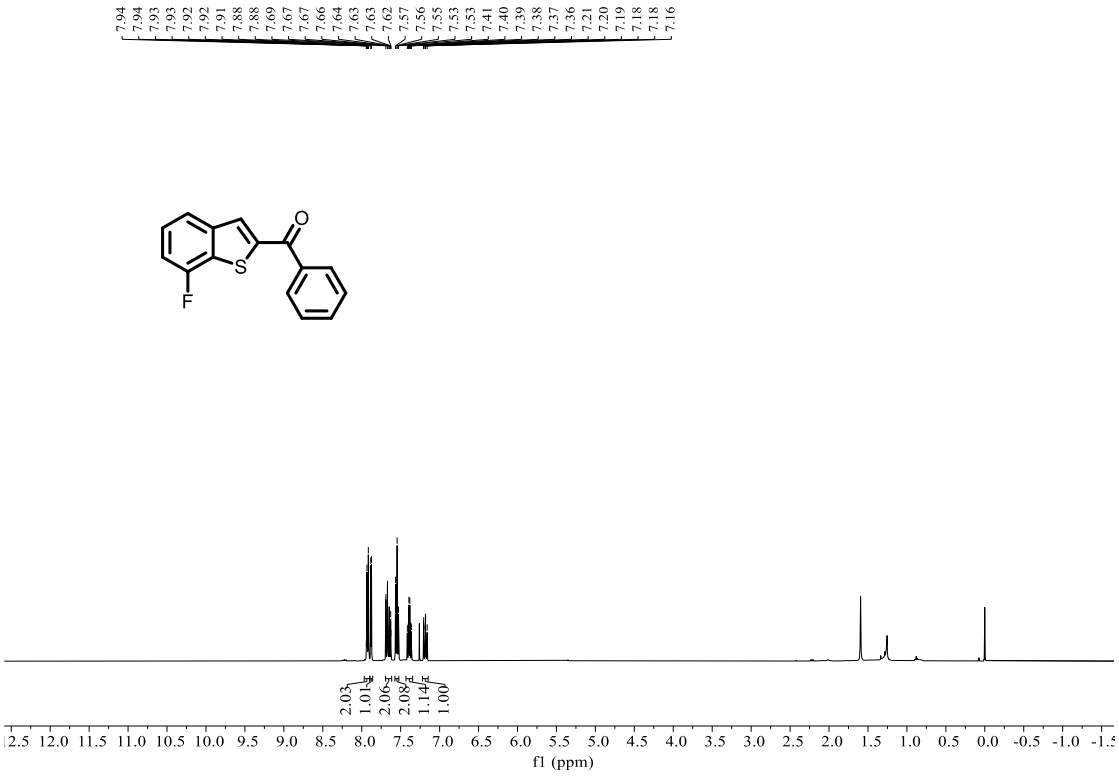
^{13}C NMR (101 MHz, $CDCl_3$) of (4-fluorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (**9a**)



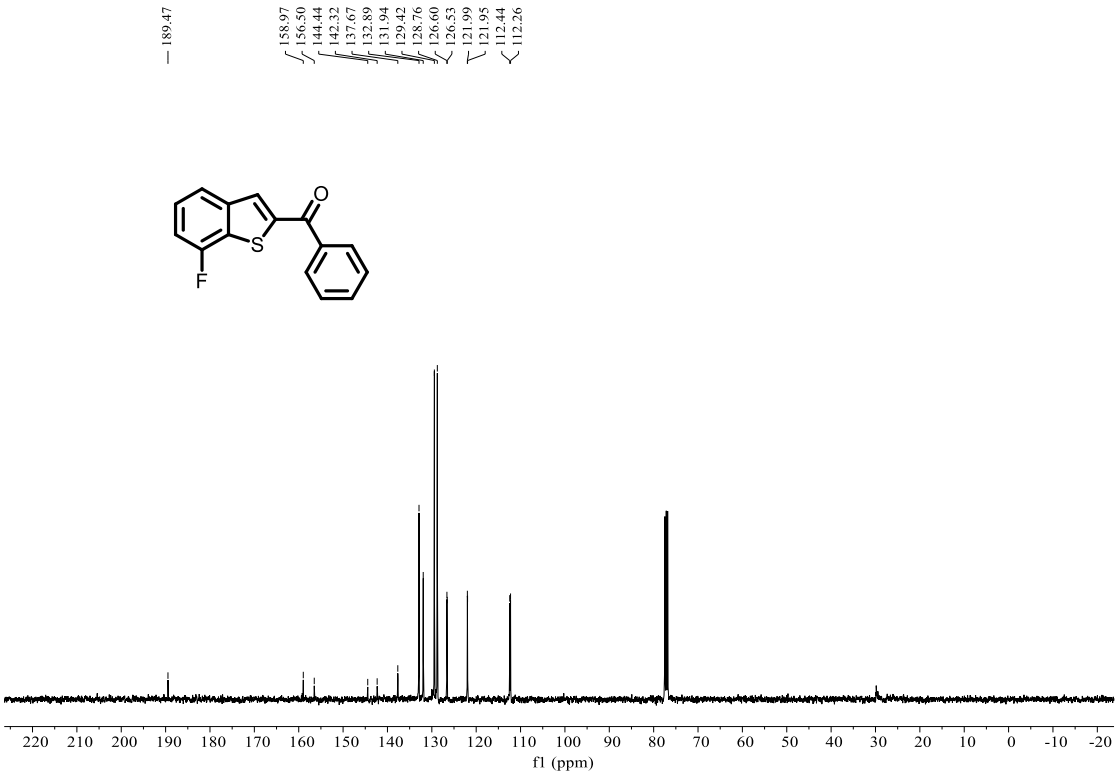
^{19}F NMR (376 MHz, $CDCl_3$) of of (4-fluorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (**9a**)



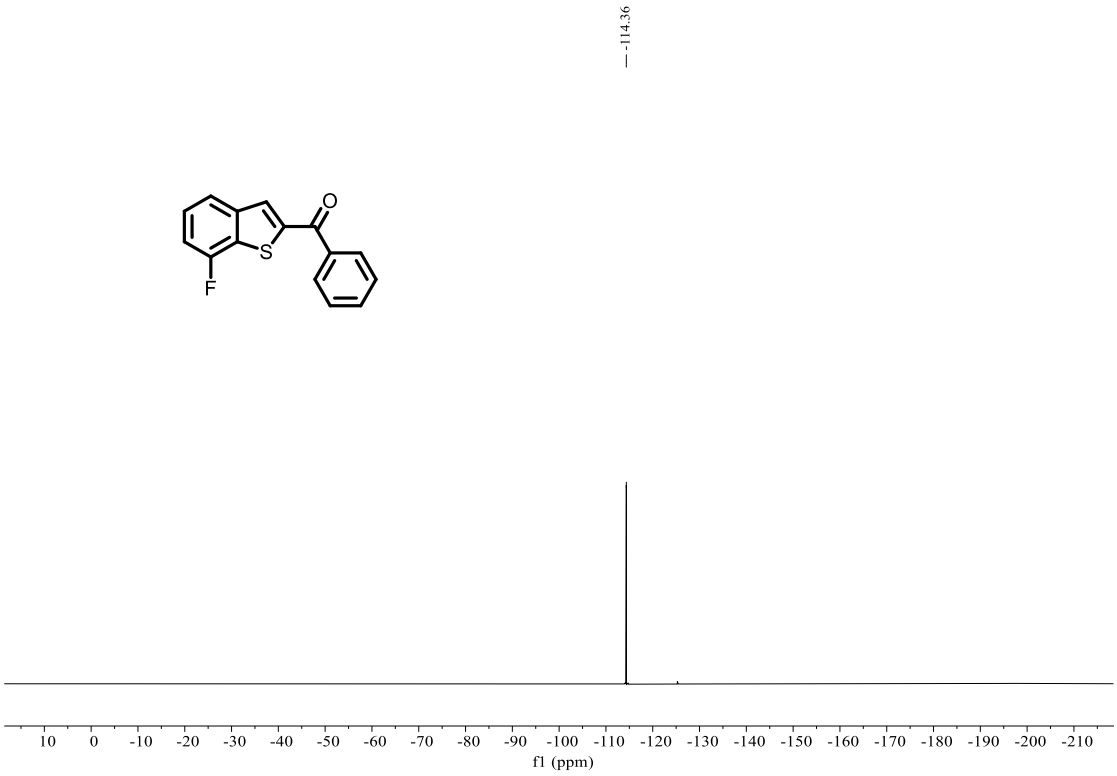
^{1}H NMR (400 MHz, $CDCl_3$) of (7-fluorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (**10a**)



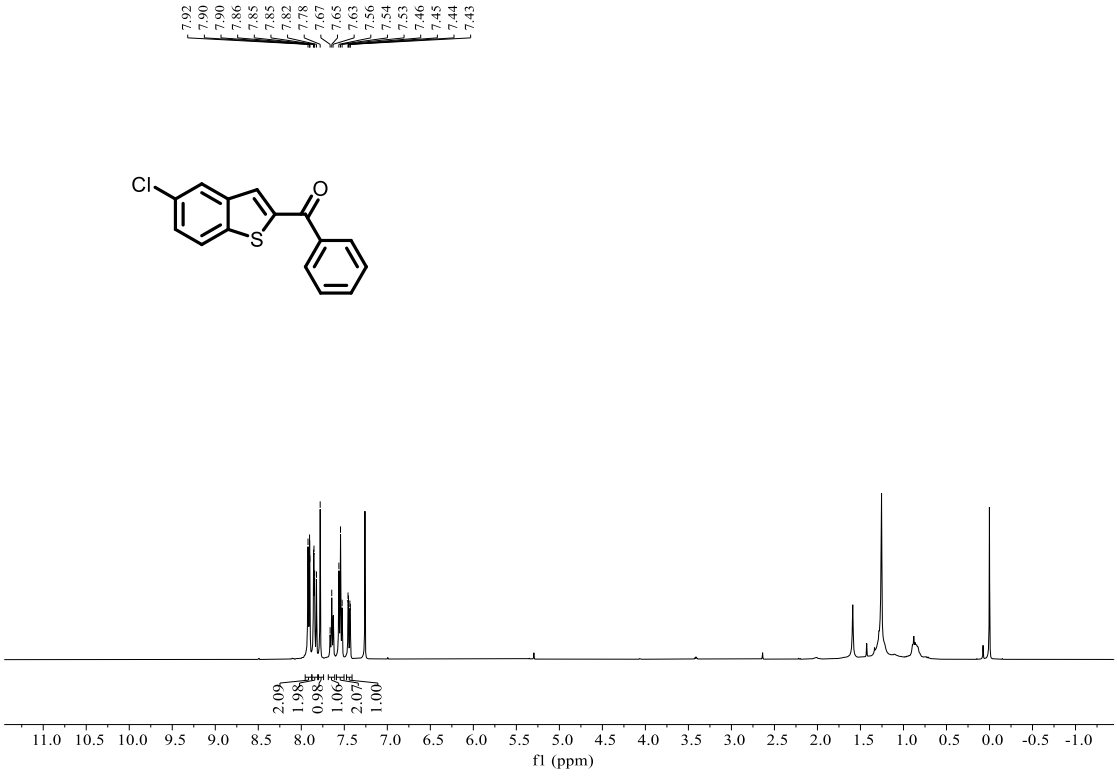
^{13}C NMR (101 MHz, $CDCl_3$) of (7-fluorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (**10a**)



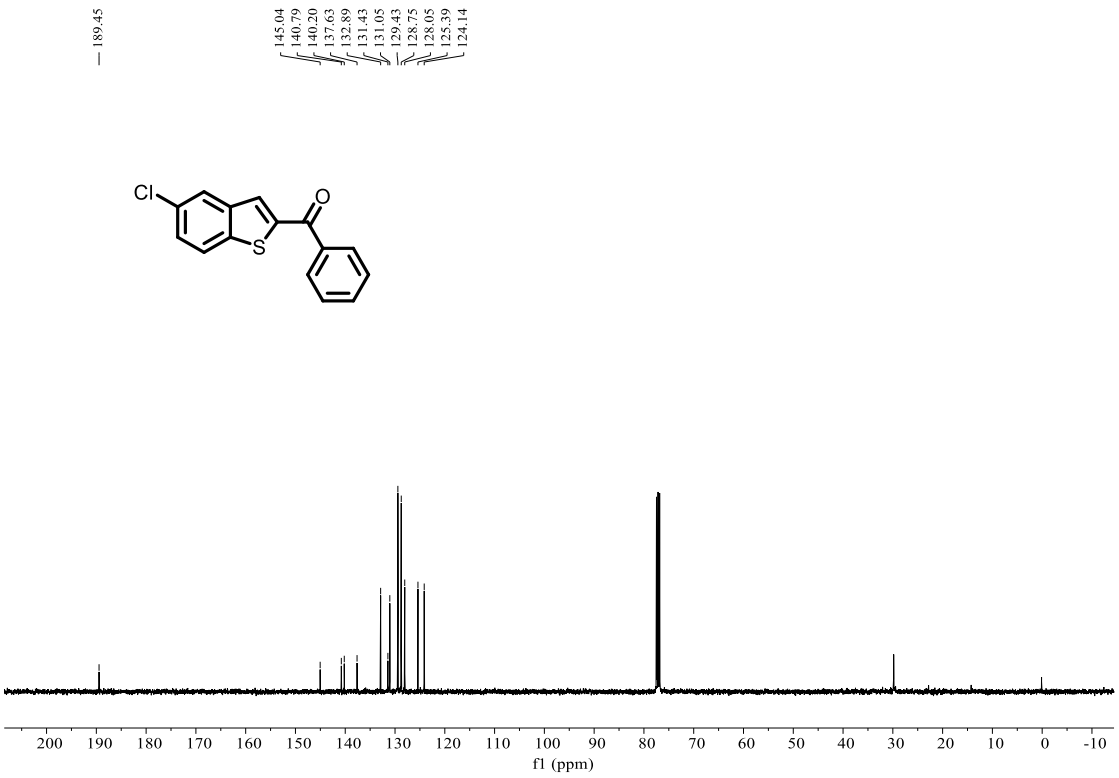
^{19}F NMR (376 MHz, $CDCl_3$) of (7-fluorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (**10a**)



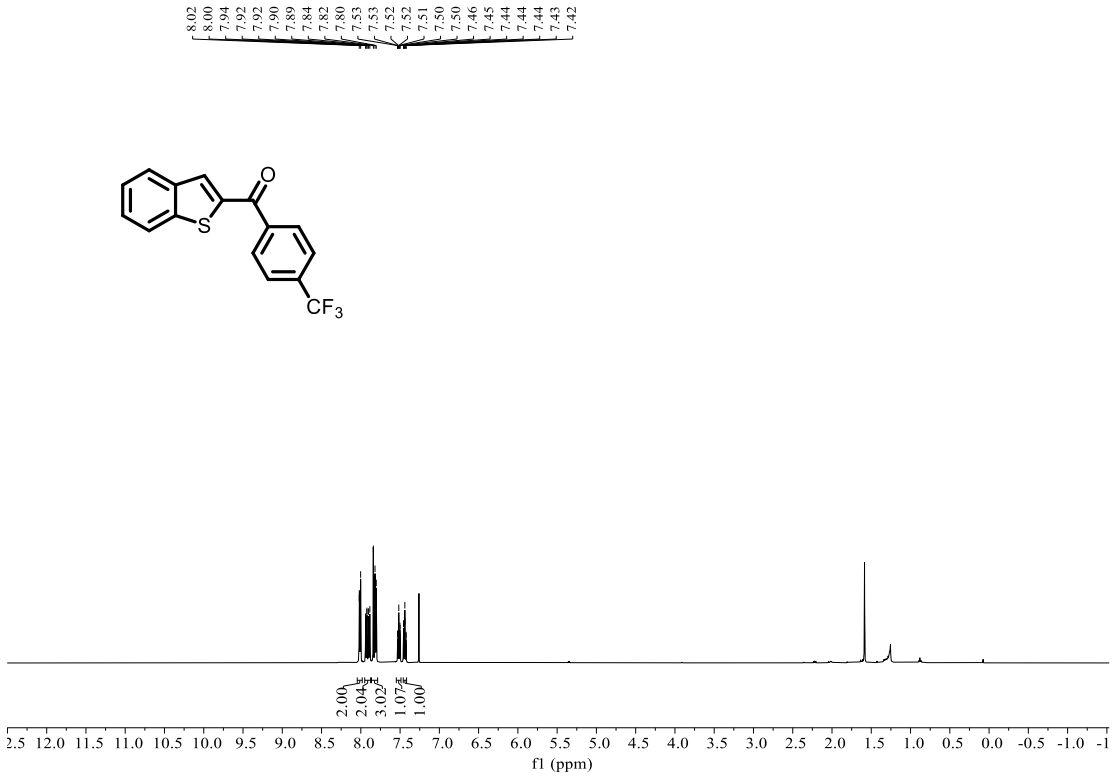
^{1}H NMR (400 MHz, $CDCl_3$) of (5-chlorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (**11a**)



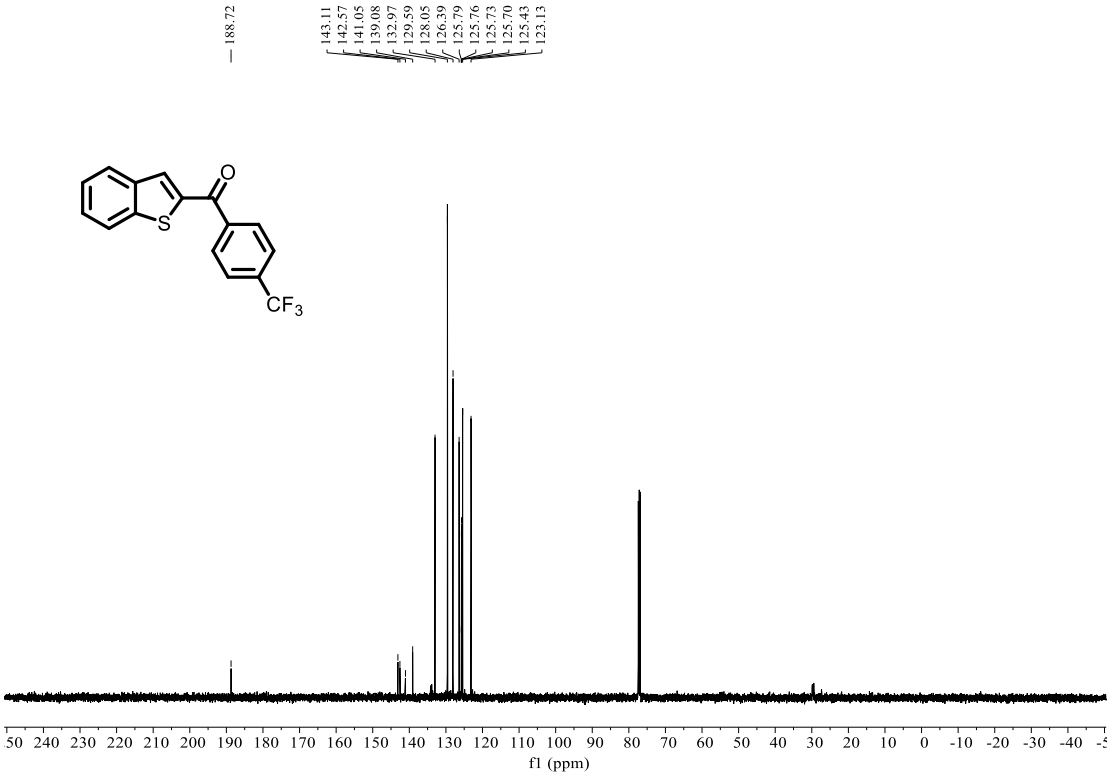
^{13}C NMR (101 MHz, $CDCl_3$) of (5-chlorobenzo[*b*]thiophen-2-yl)(phenyl)methanone (**11a**)



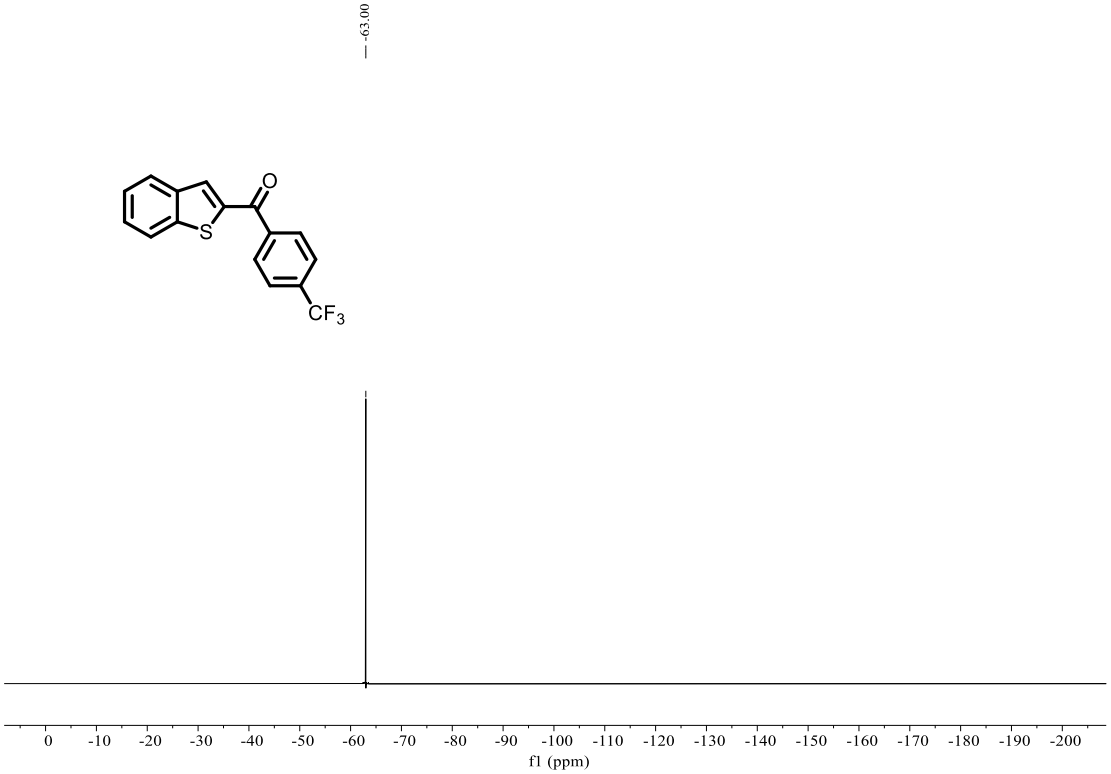
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(4-(trifluoromethyl)phenyl)methanone (**12a**)



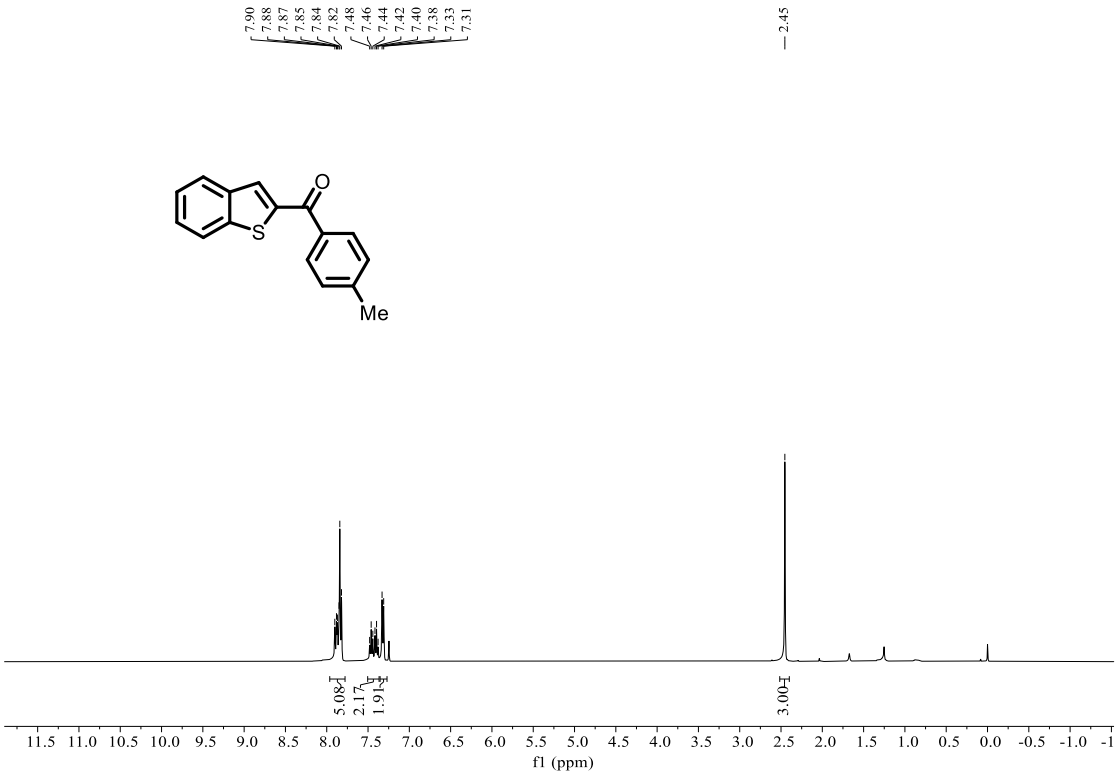
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(4-(trifluoromethyl)phenyl)methanone (**12a**)



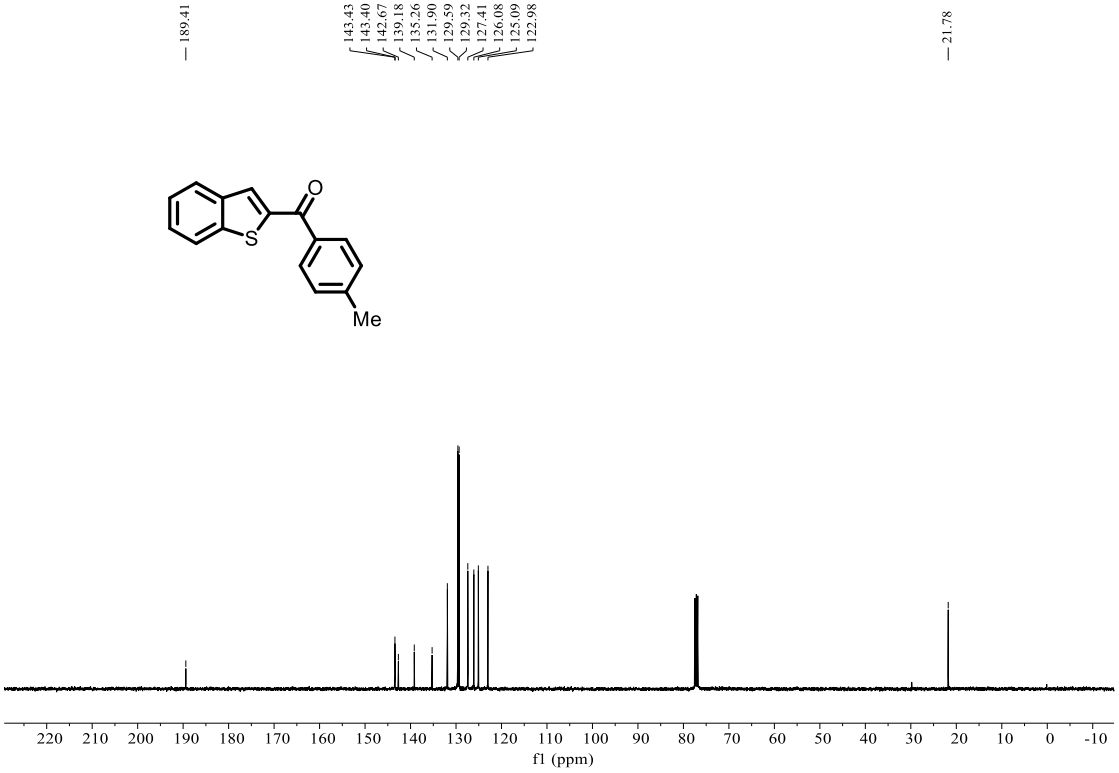
^{19}F NMR (376 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(4-(trifluoromethyl)phenyl)methanone (**12a**)



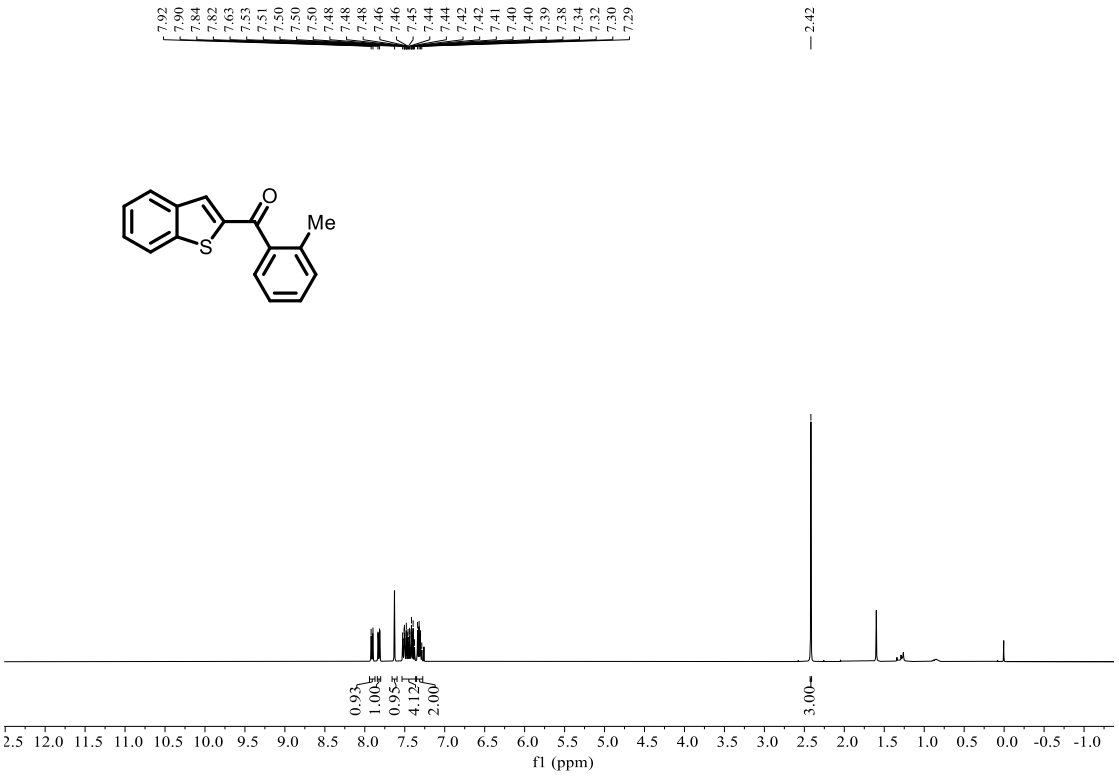
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(*p*-tolyl)methanone (**13a**)



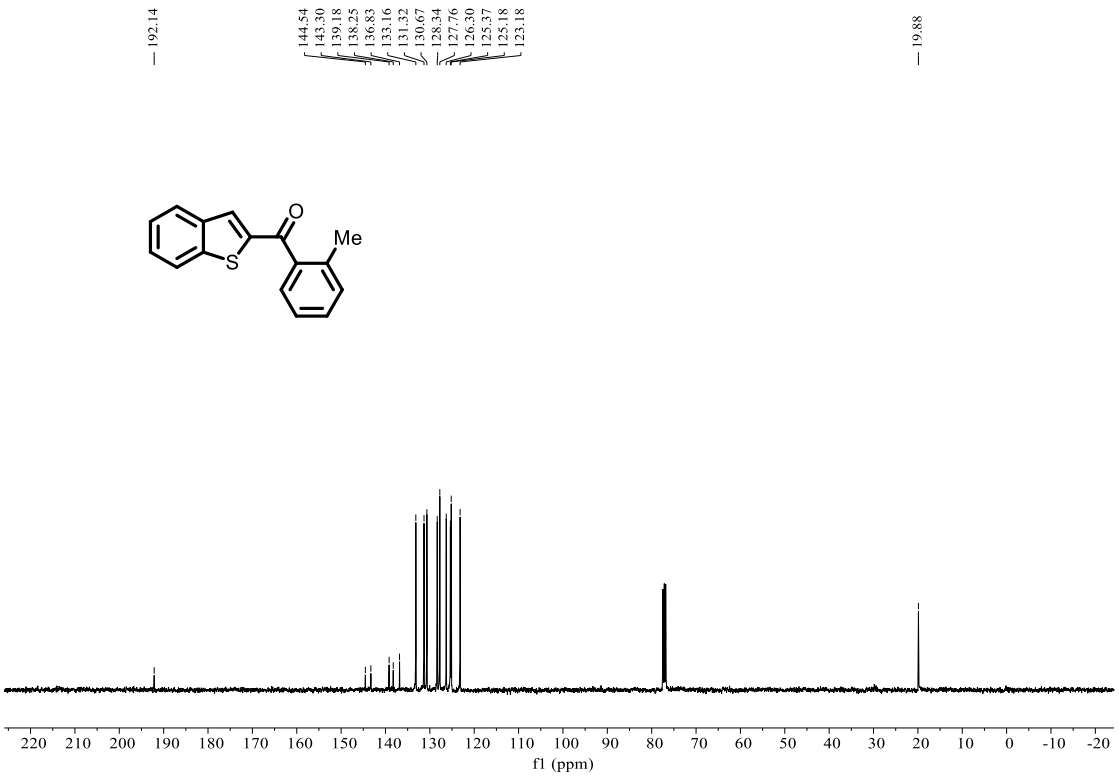
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(*p*-tolyl)methanone (**13a**)



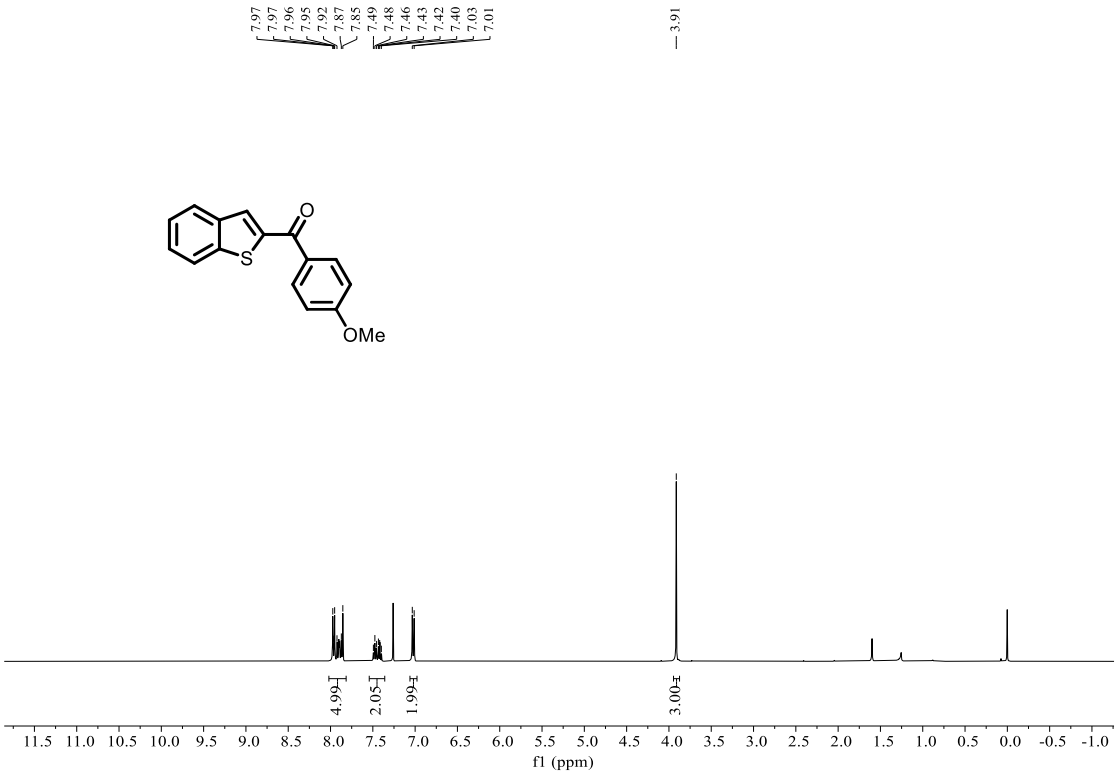
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(*o*-tolyl)methanone (**14a**)



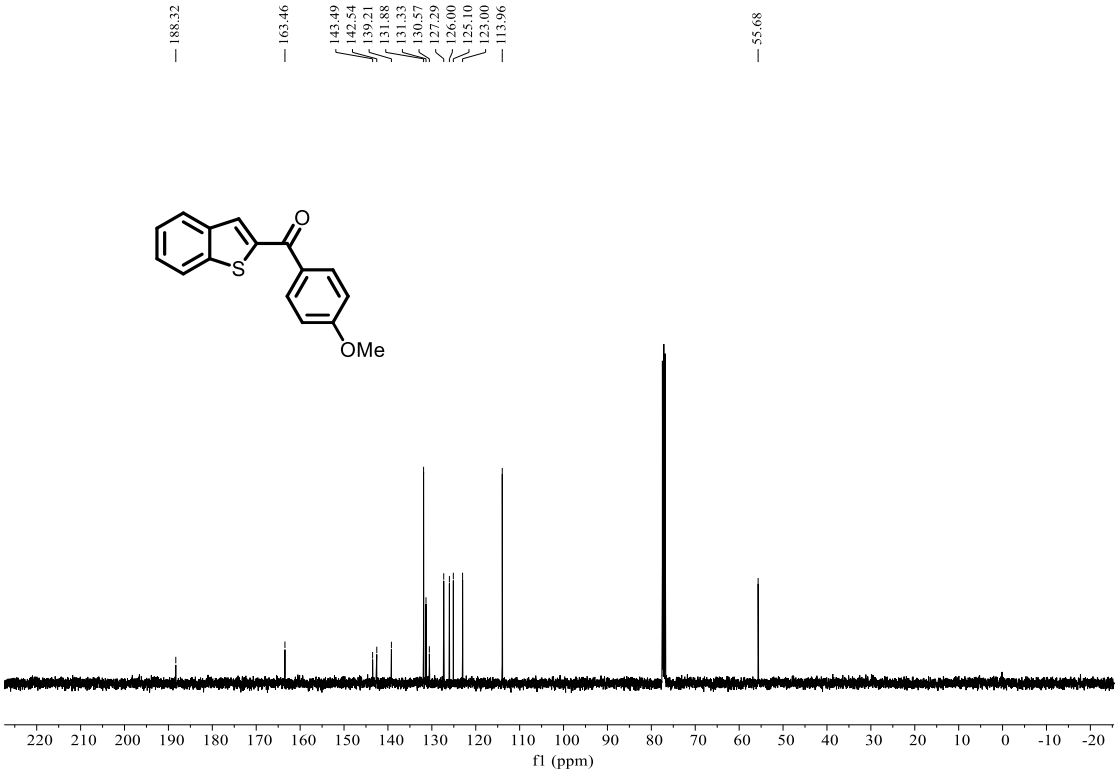
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(*o*-tolyl)methanone (**14a**)



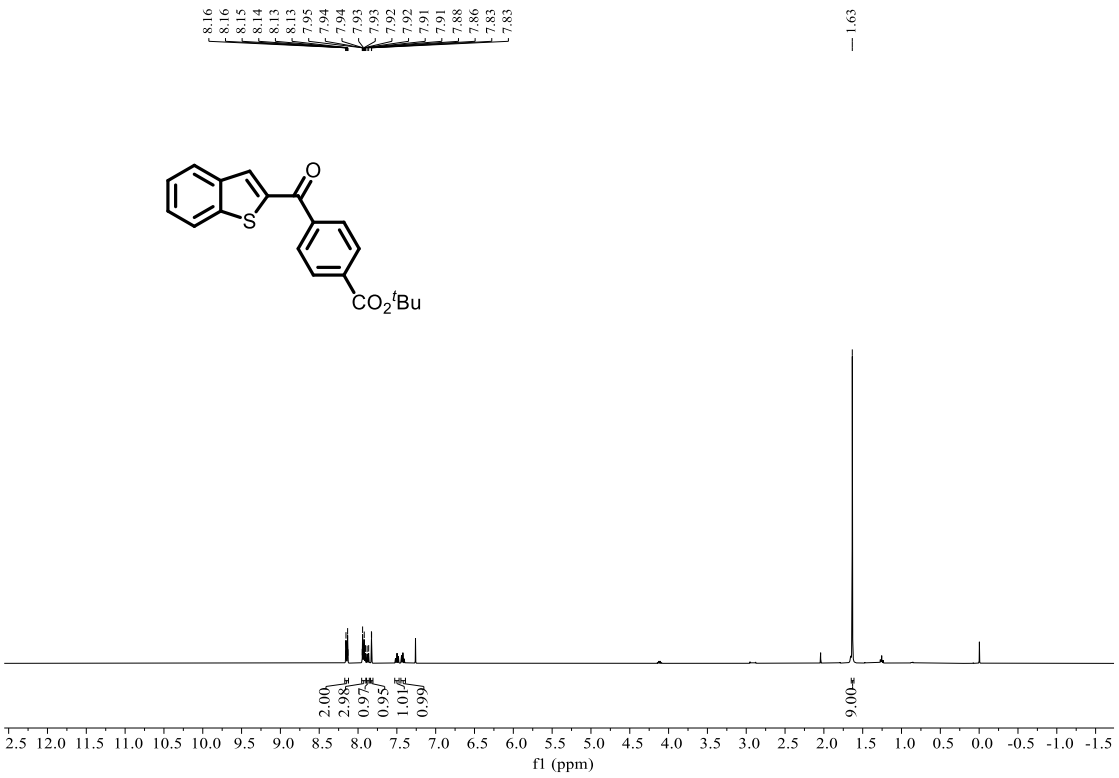
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(2-methoxyphenyl)methanone (**15a**)



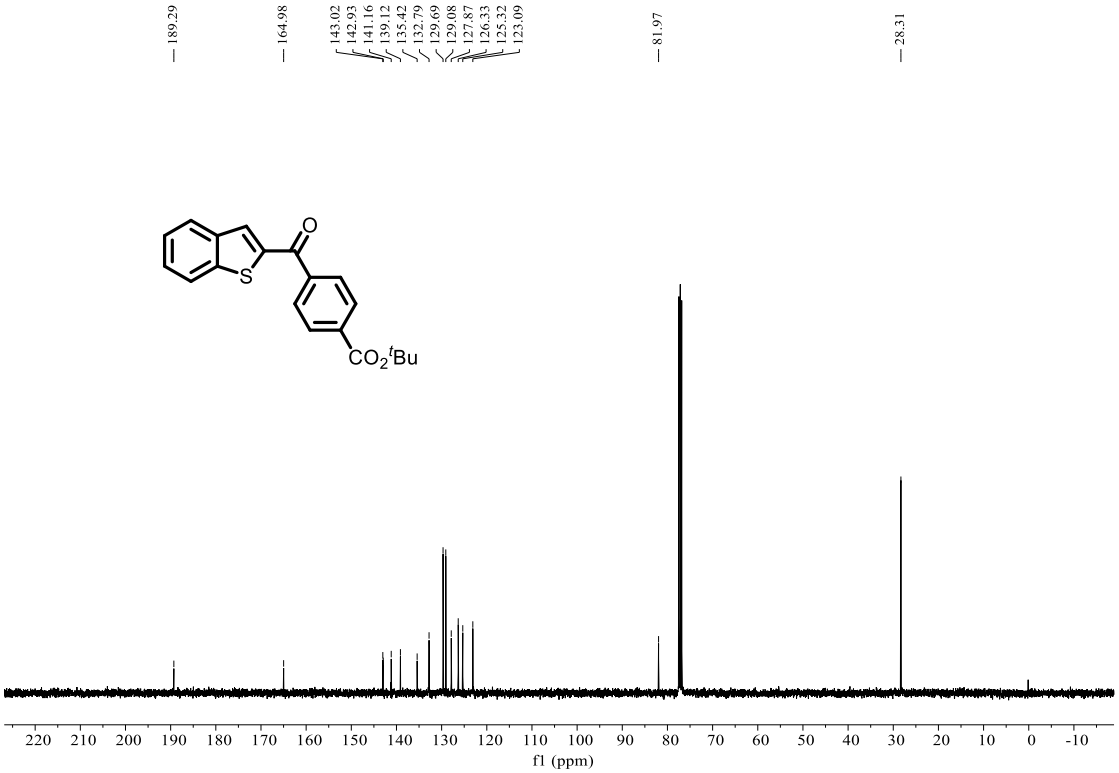
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(2-methoxyphenyl)methanone (**15a**)



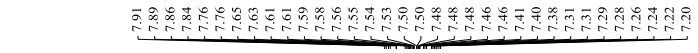
^{1}H NMR (400 MHz, $CDCl_3$) of *tert*-butyl 4-(benzo[*b*]thiophene-2-carbonyl)benzoate (**16a**)

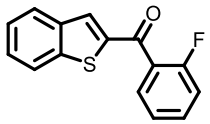


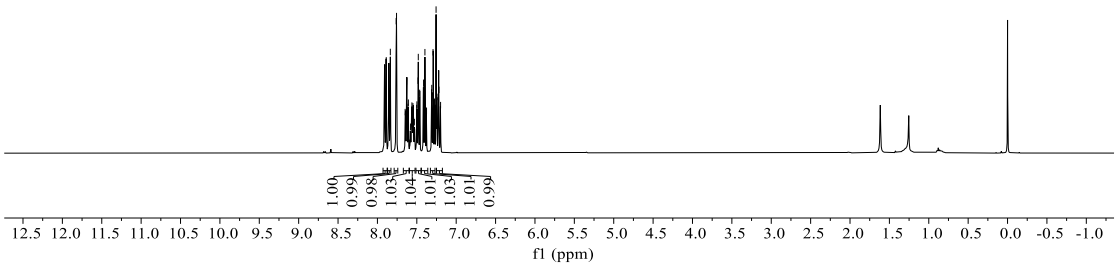
^{13}C NMR (101 MHz, $CDCl_3$) of *tert*-butyl 4-(benzo[*b*]thiophene-2-carbonyl)benzoate (**16a**)



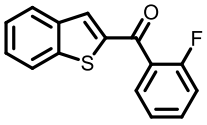
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(2-fluorophenyl)methanone (**17a**)

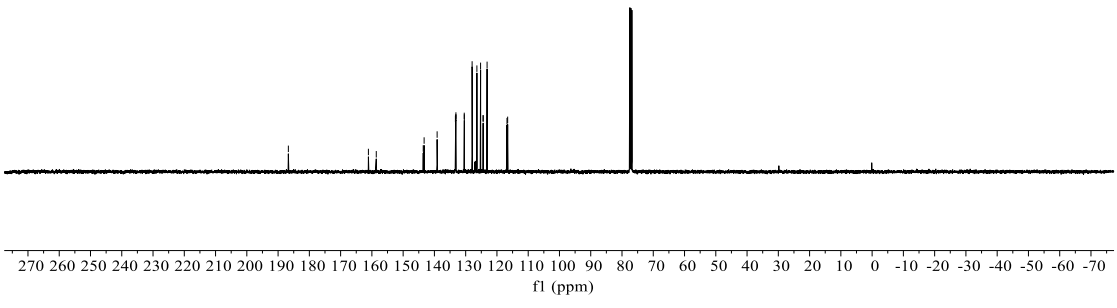




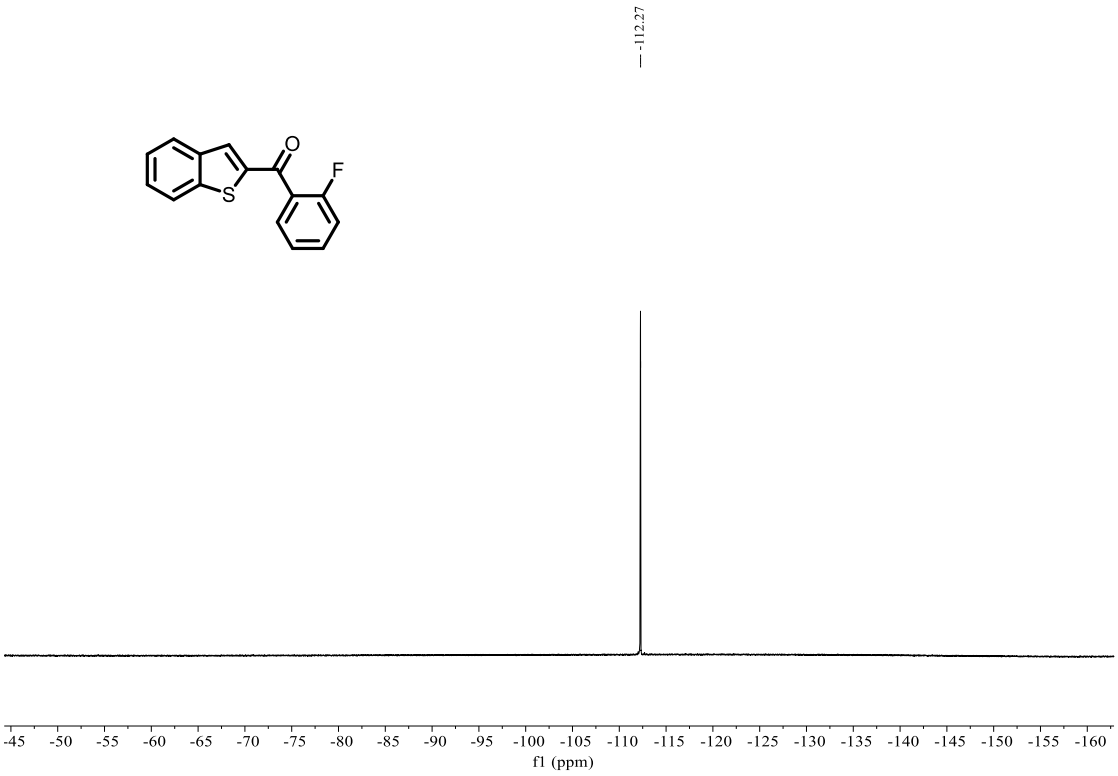


^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(2-fluorophenyl)methanone (**17a**)

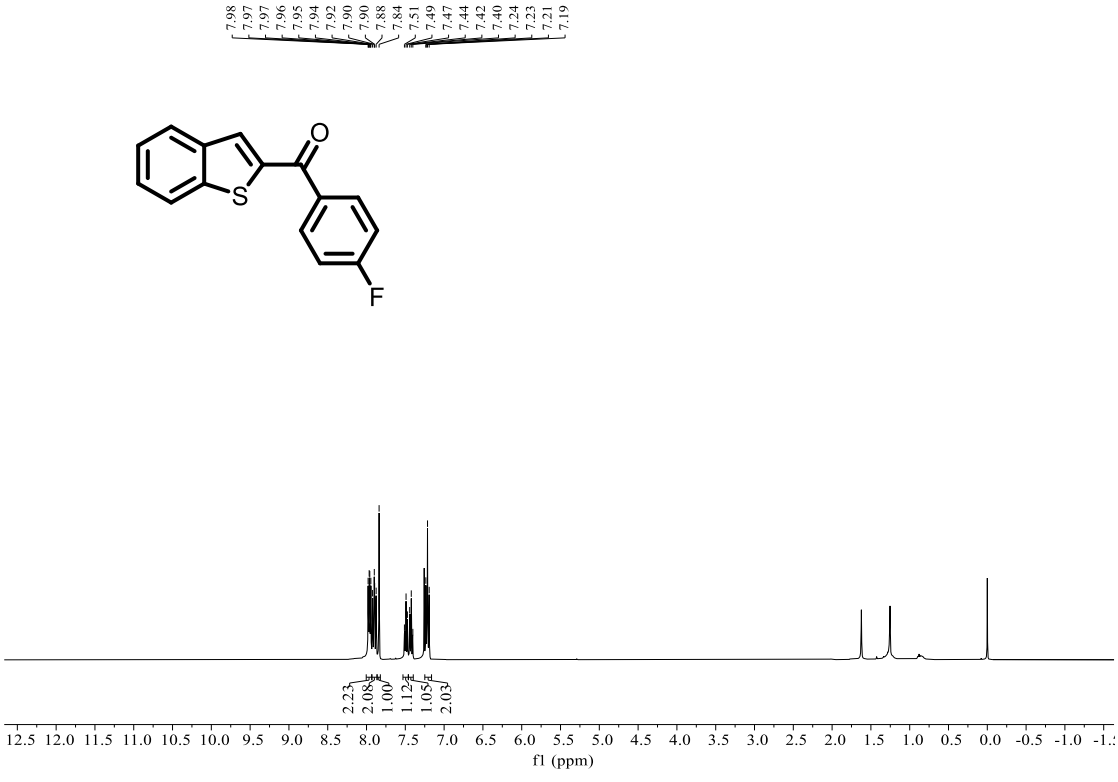




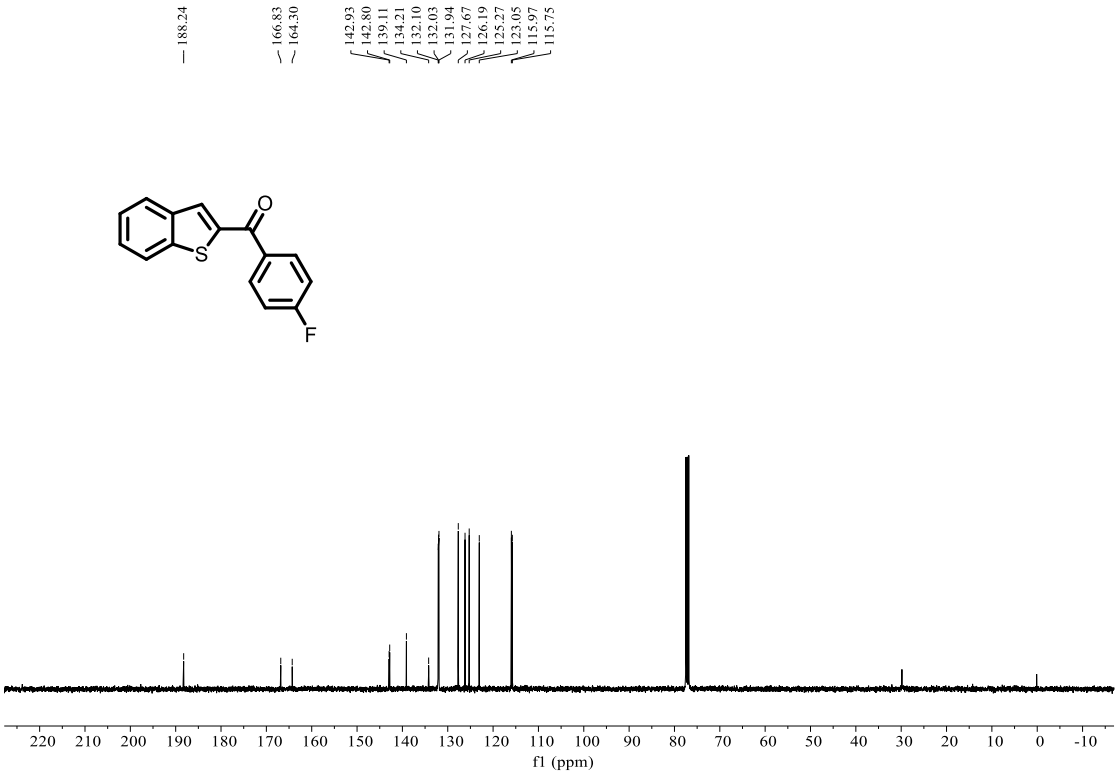
^{19}F NMR (376 MHz, $CDCl_3$) of of benzo[*b*]thiophen-2-yl(2-fluorophenyl)methanone (**17a**)



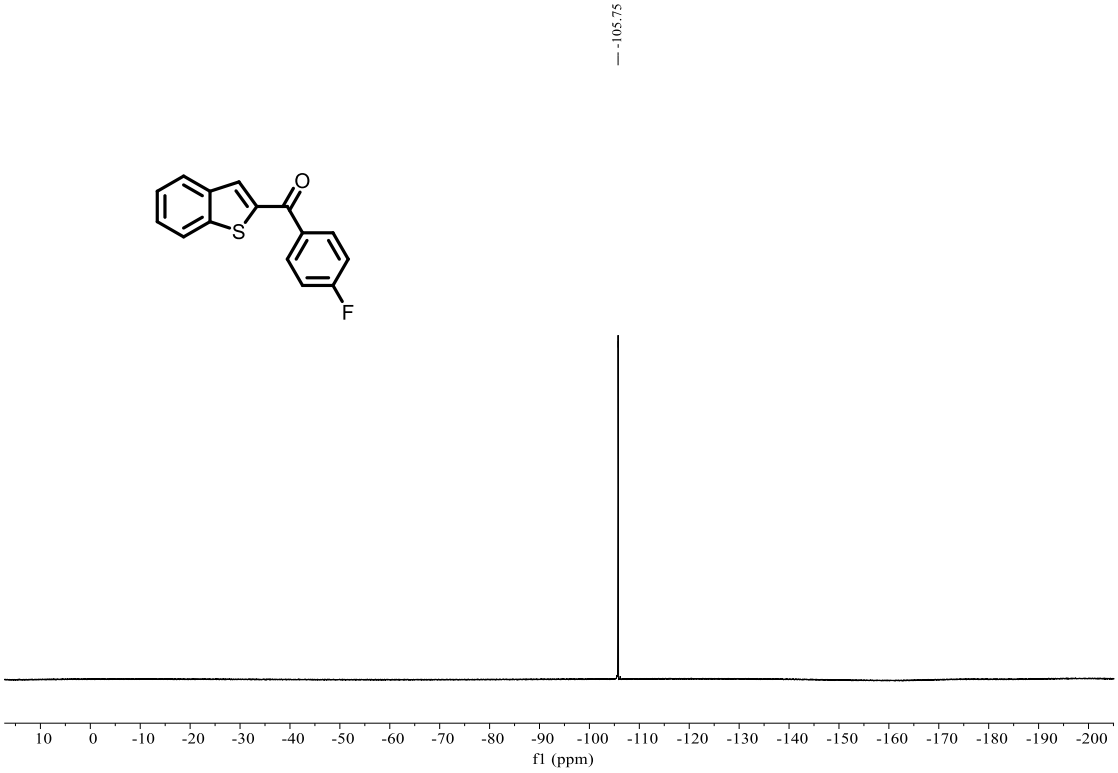
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(4-fluorophenyl)methanone (**18a**)



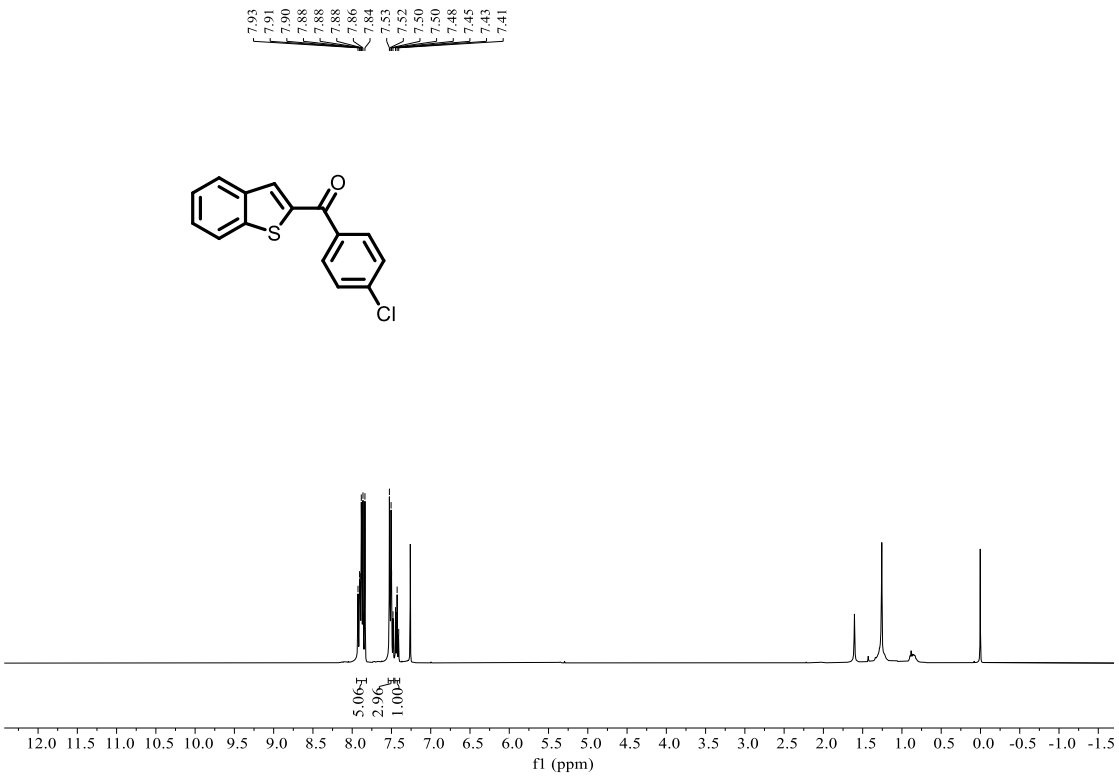
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(4-fluorophenyl)methanone (**18a**)



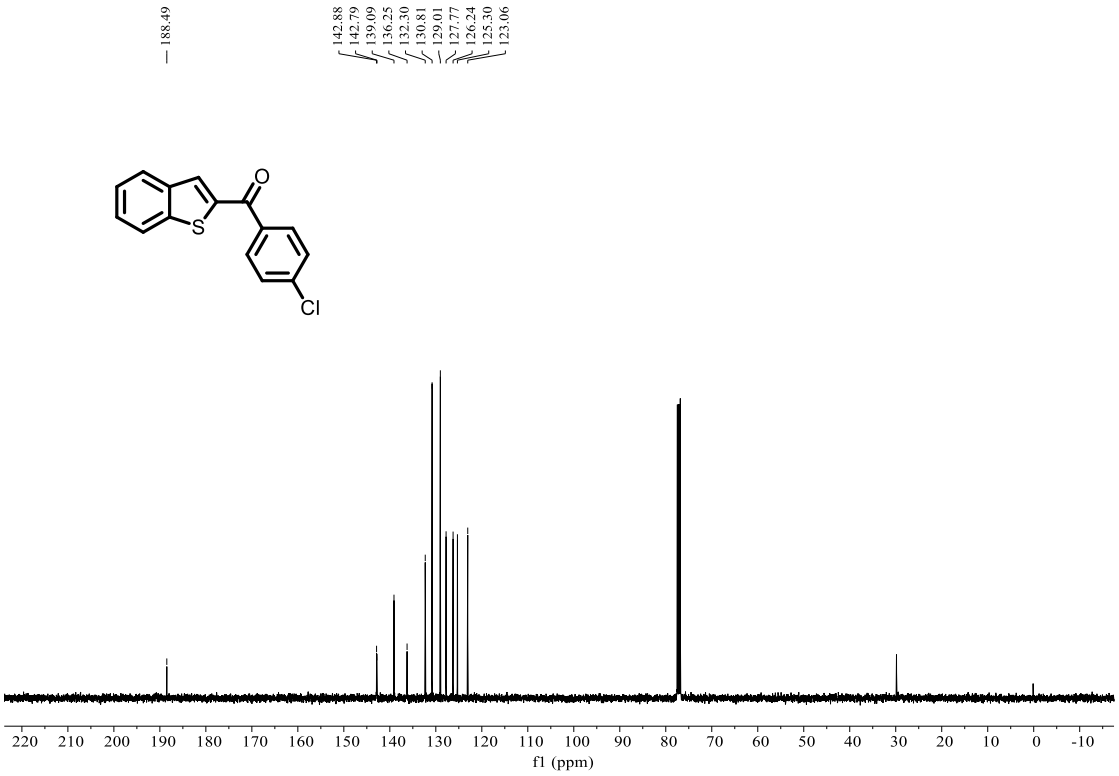
^{19}F NMR (376 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(4-fluorophenyl)methanone (**18a**)



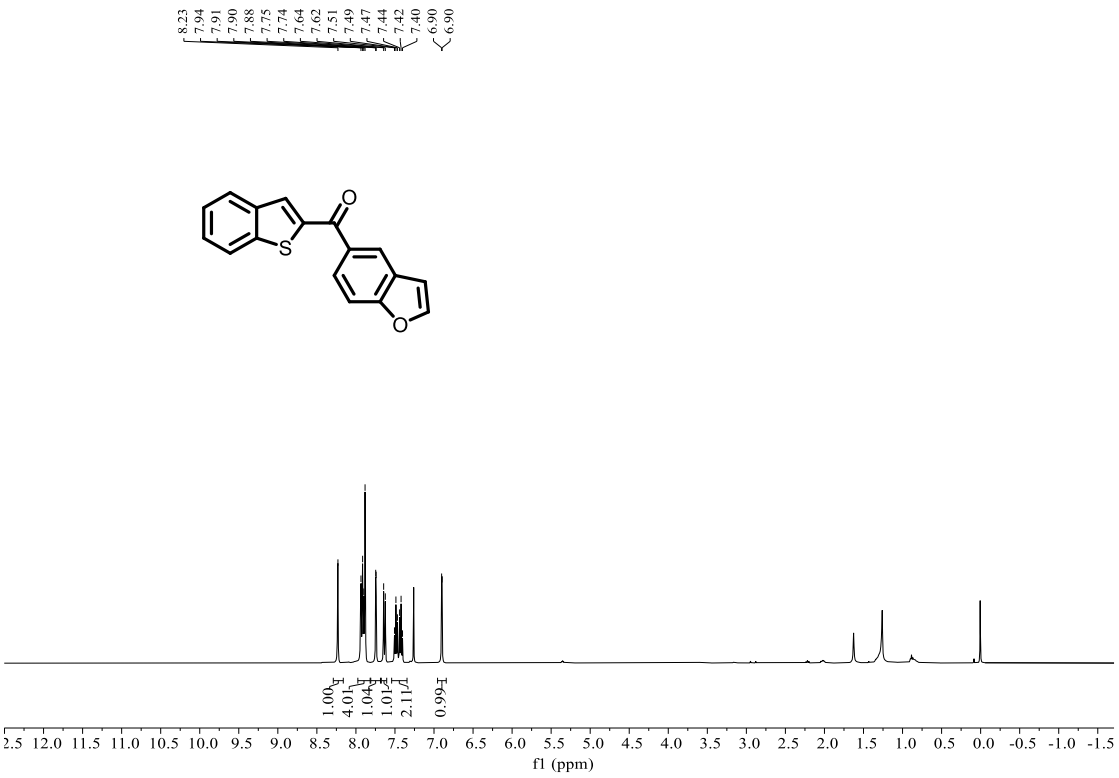
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(4-chlorophenyl)methanone (**19a**)



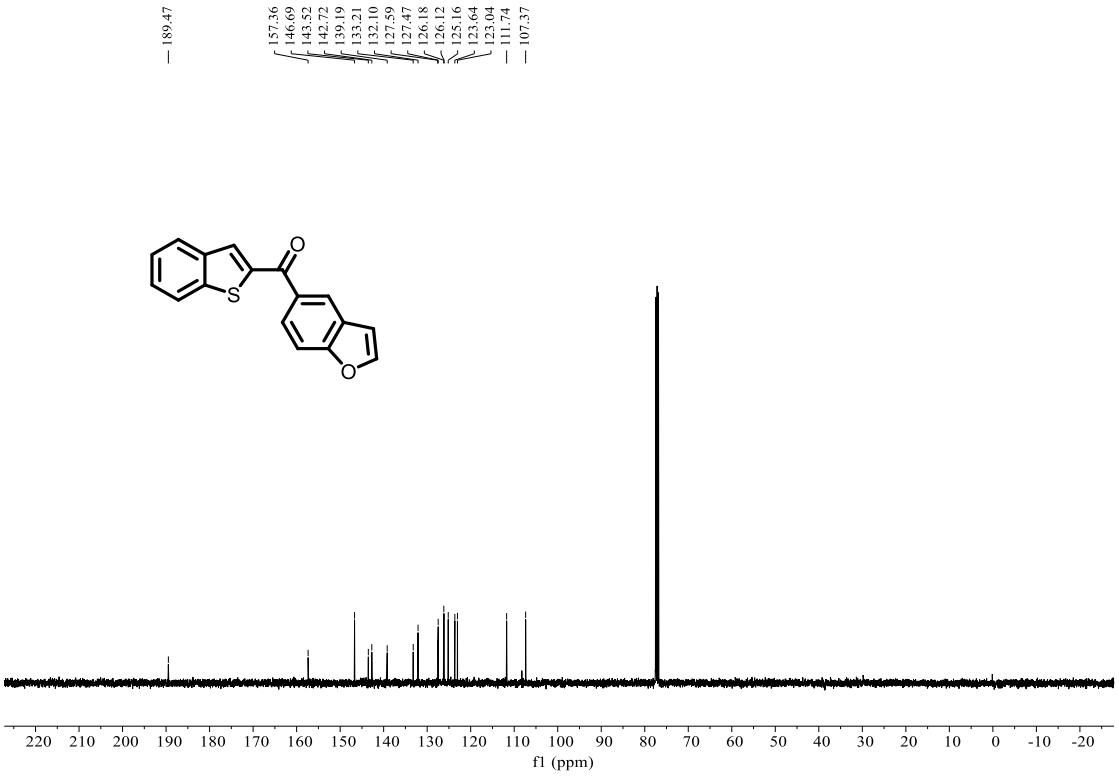
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(4-chlorophenyl)methanone (**19a**)



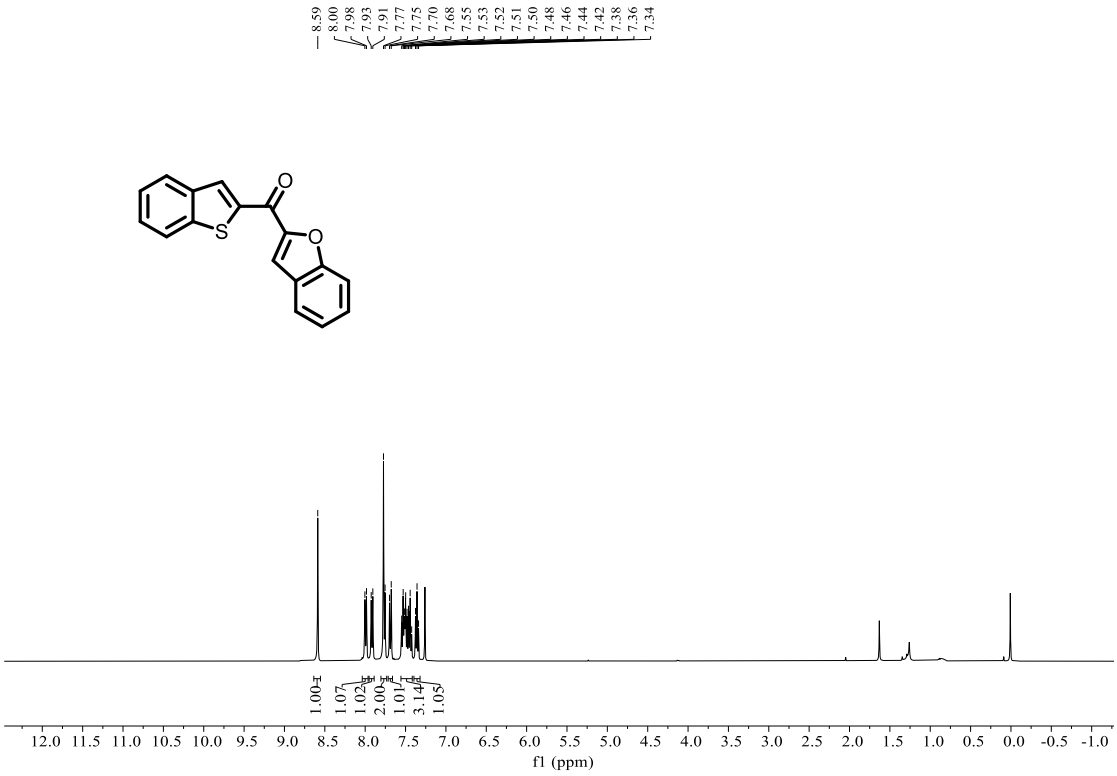
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(benzofuran-5-yl)methanone (**20a**)



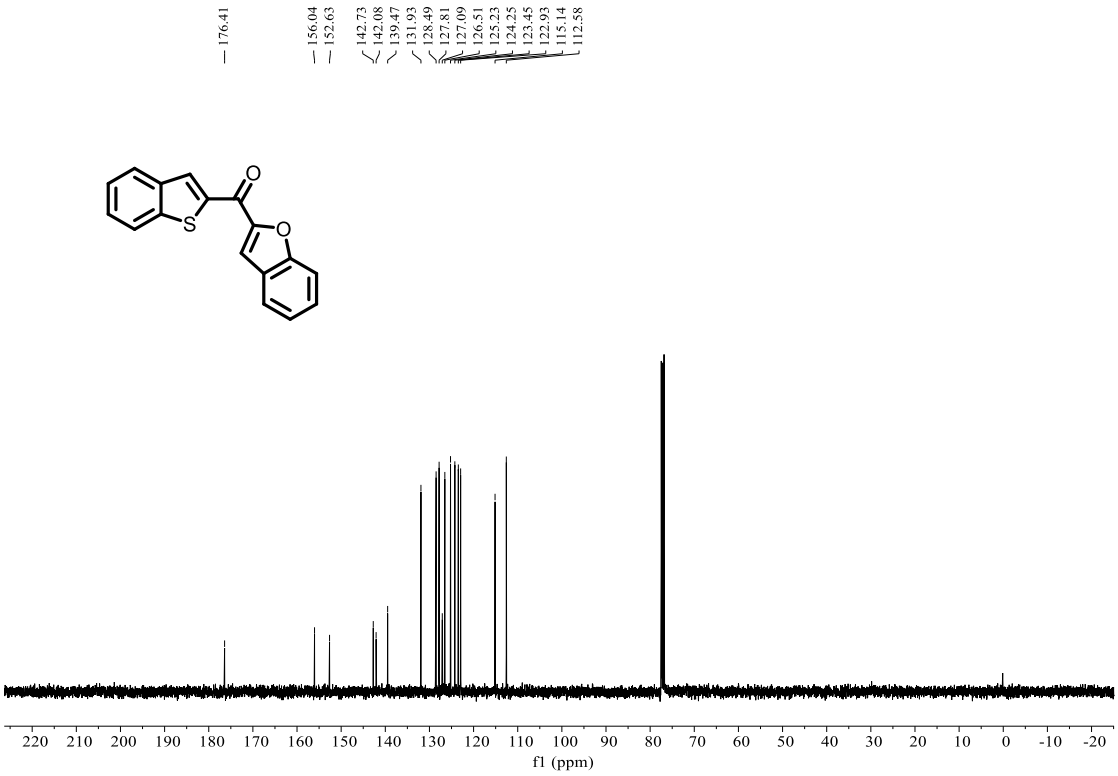
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(benzofuran-5-yl)methanone (**20a**)



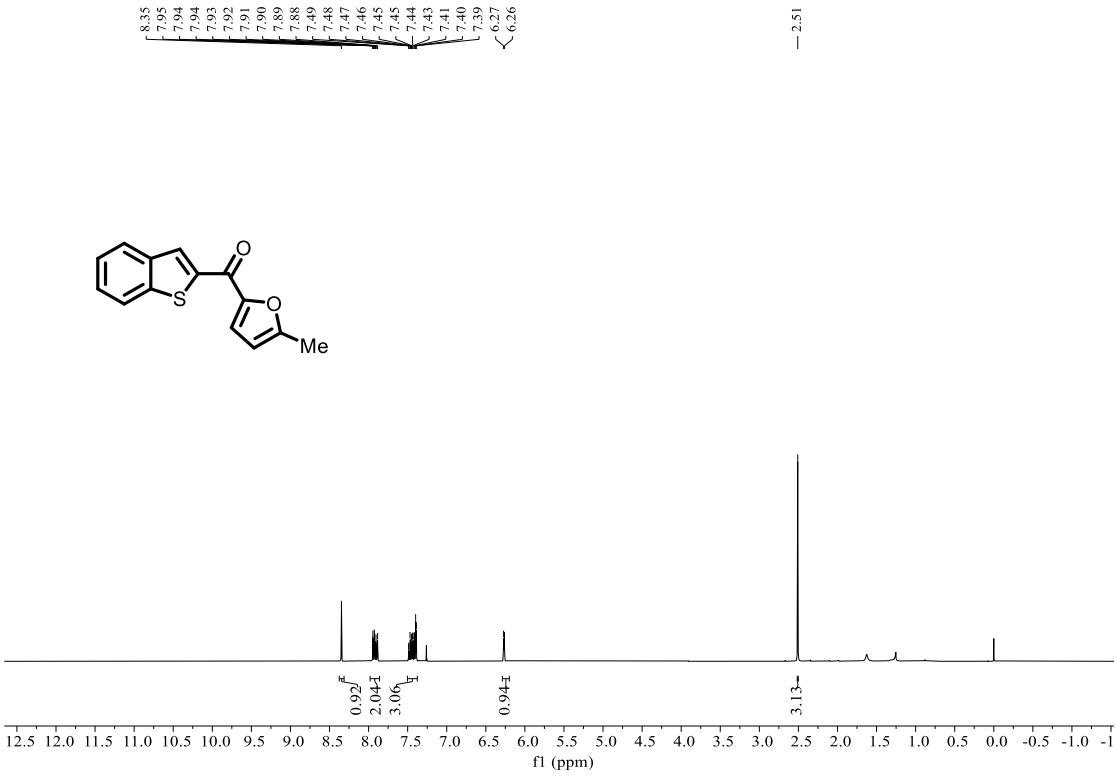
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(benzofuran-2-yl)methanone (**21a**)



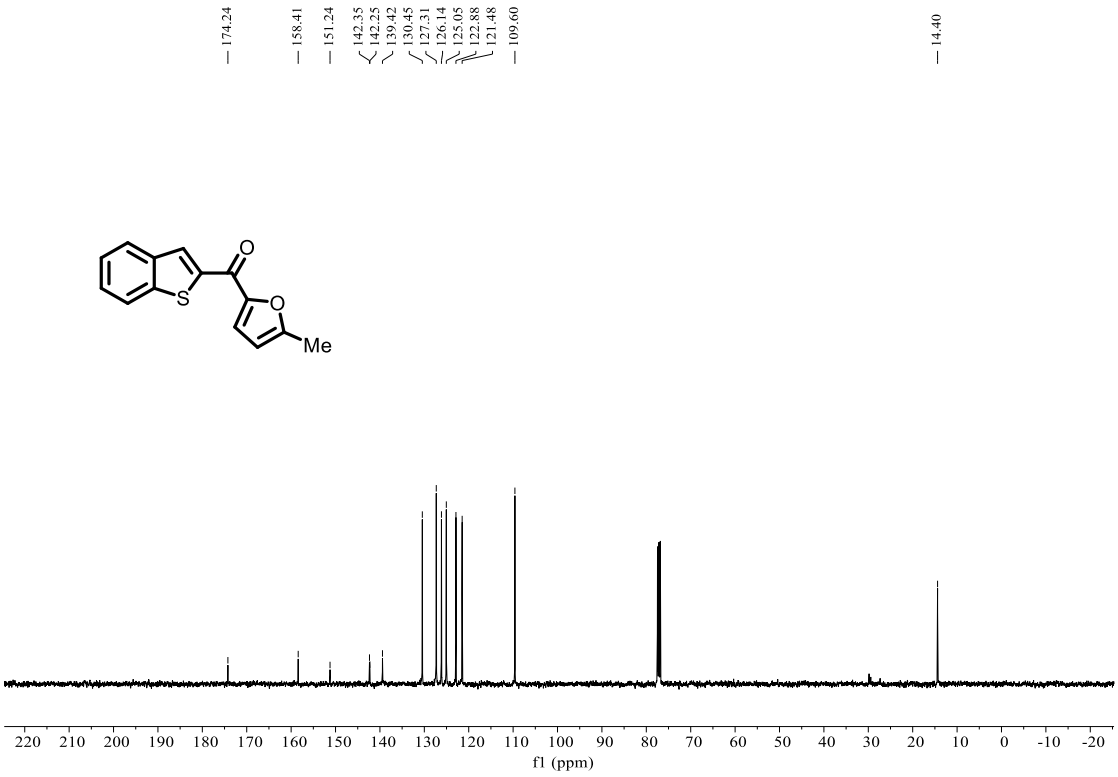
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(benzofuran-2-yl)methanone (**21a**)



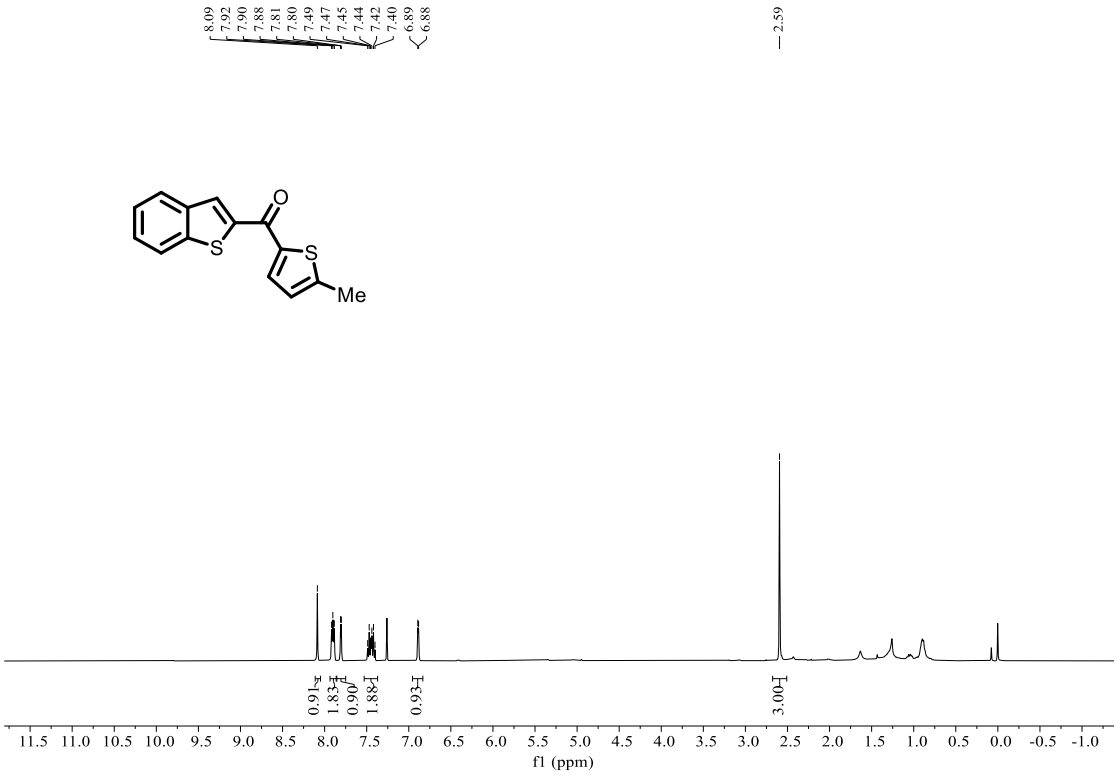
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(5-methylfuran-2-yl)methanone (**22a**)



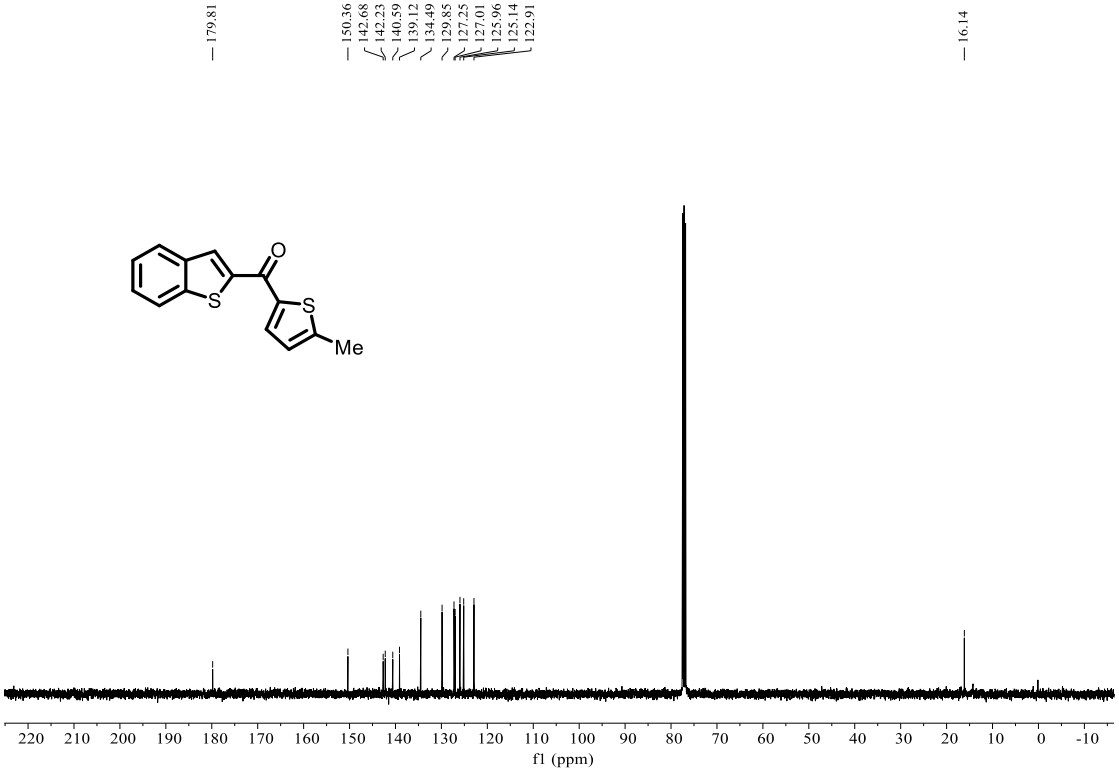
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(5-methylfuran-2-yl)methanone (**22a**)



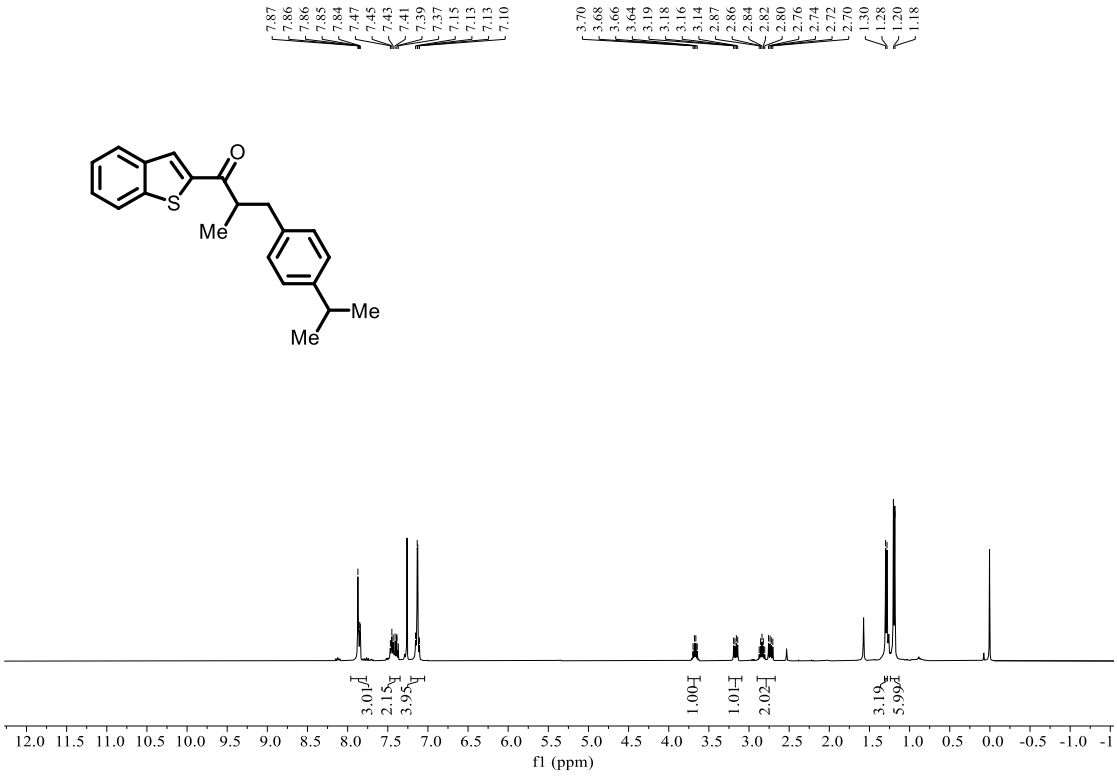
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(5-methylthiophen-2-yl)methanone (**23a**)



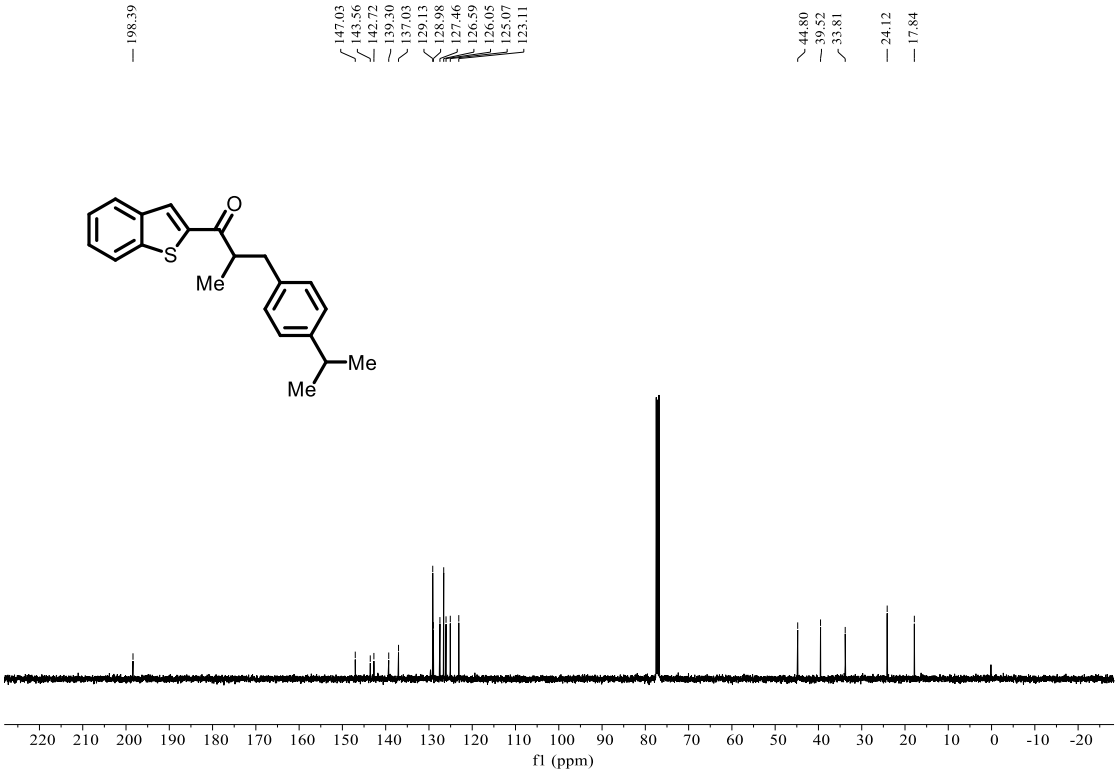
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(5-methylthiophen-2-yl)methanone (**23a**)



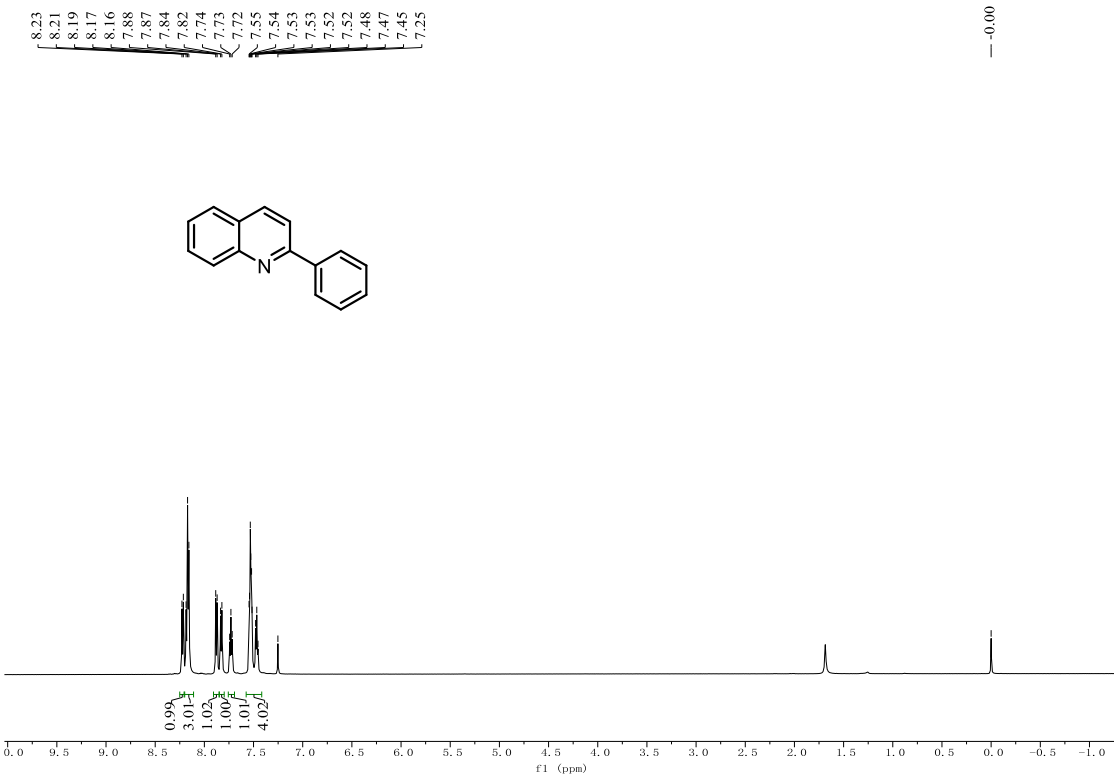
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(benzo[*b*]thiophen-2-yl)-3-(4-isopropylphenyl)-2-methyl-propan-1-one (**24a**)



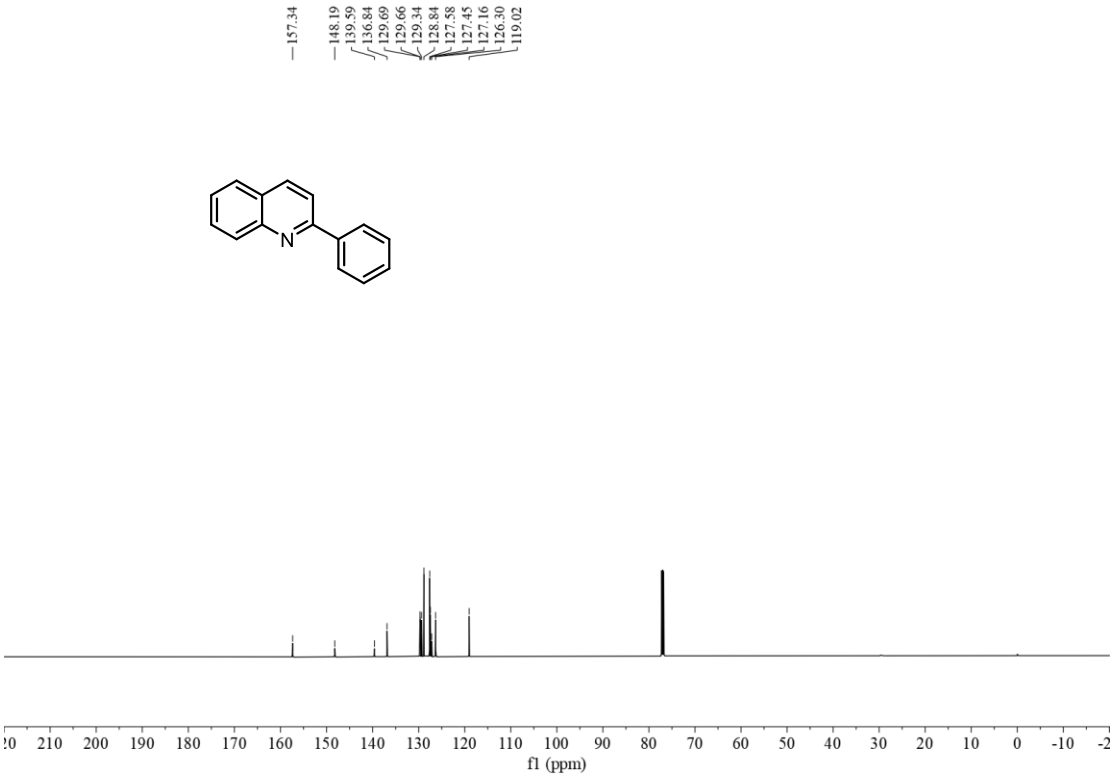
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(benzo[*b*]thiophen-2-yl)-3-(4-isopropylphenyl)-2-methyl-propan-1-one (**24a**)



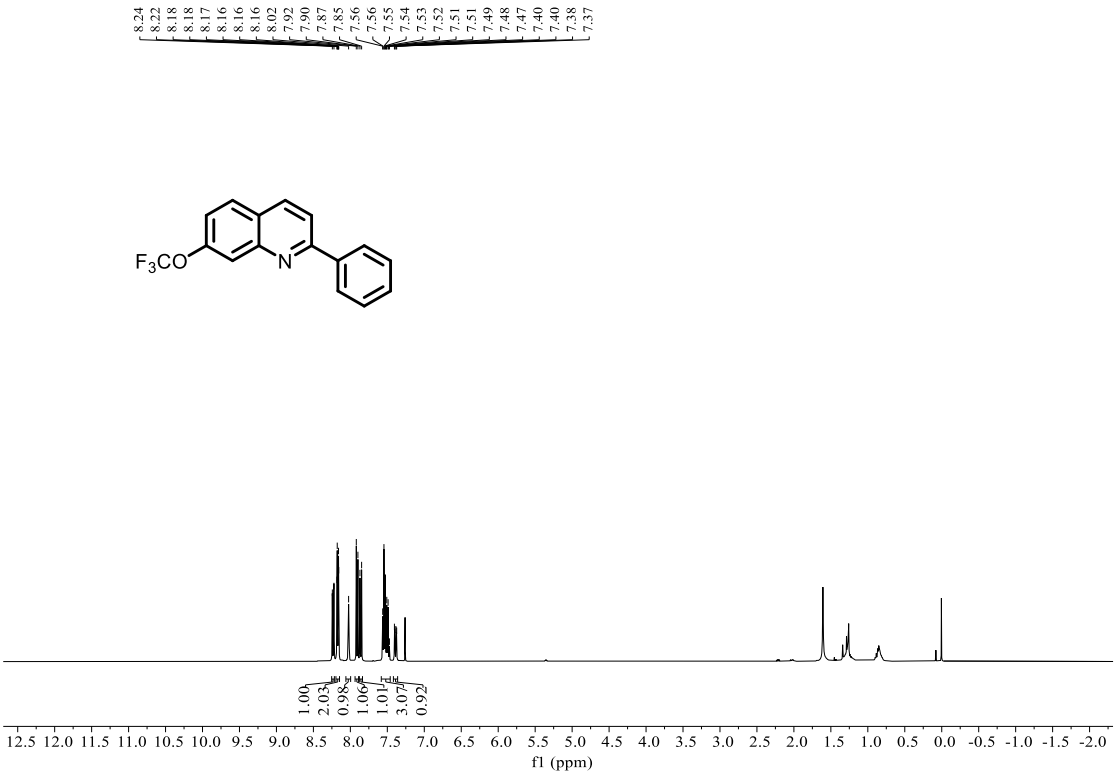
^{1}H NMR (400 MHz, $CDCl_3$) of 2-phenylquinoline (**1b**)



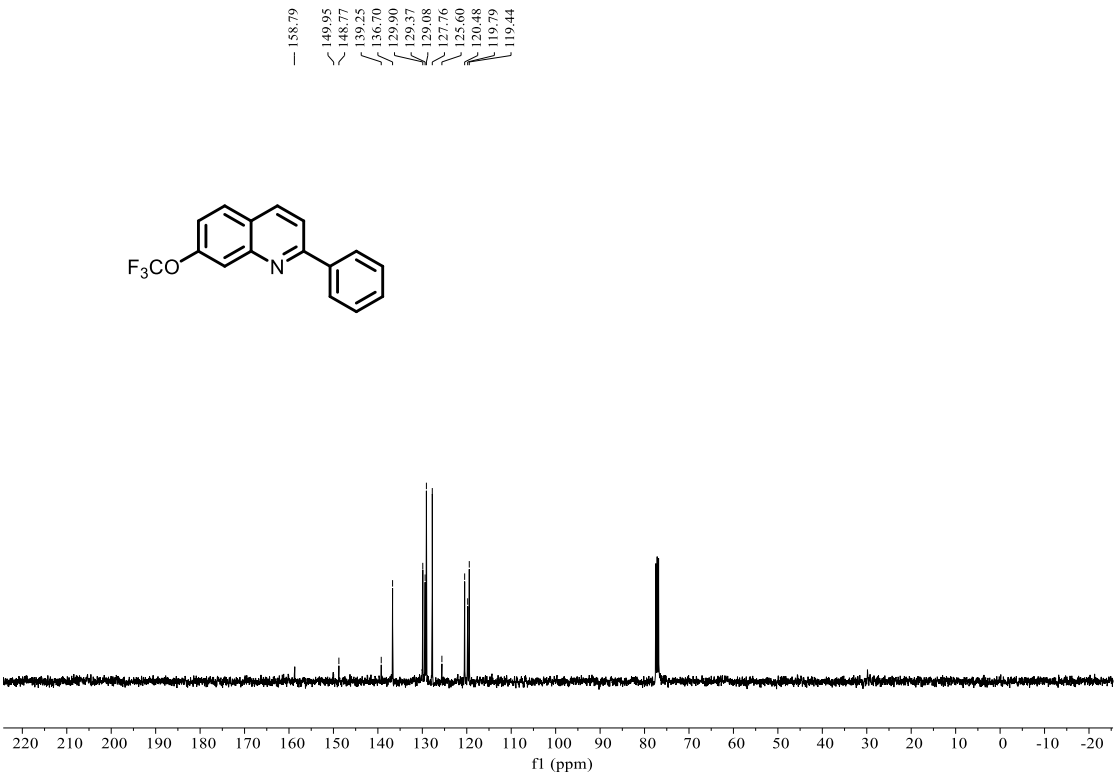
^{13}C NMR (101 MHz, $CDCl_3$) of 2-phenylquinoline (**1b**)



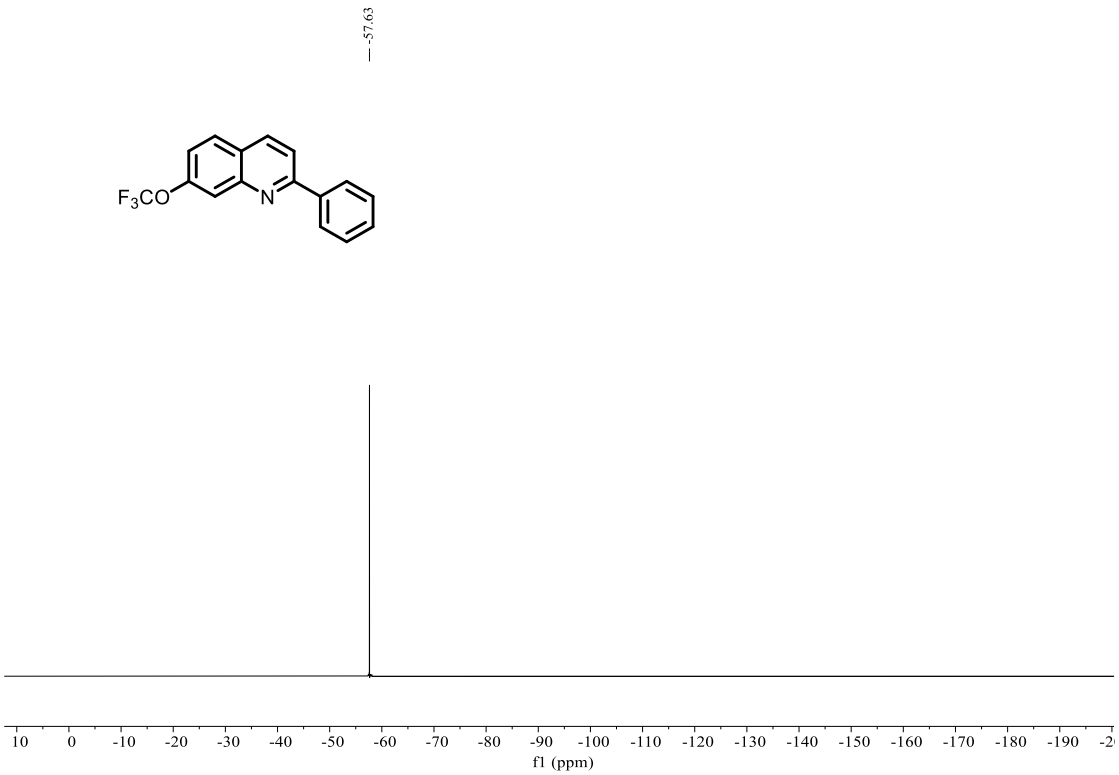
^{1}H NMR (400 MHz, $CDCl_3$) of 2-phenyl-7-(trifluoromethoxy)quinoline (**2b**)



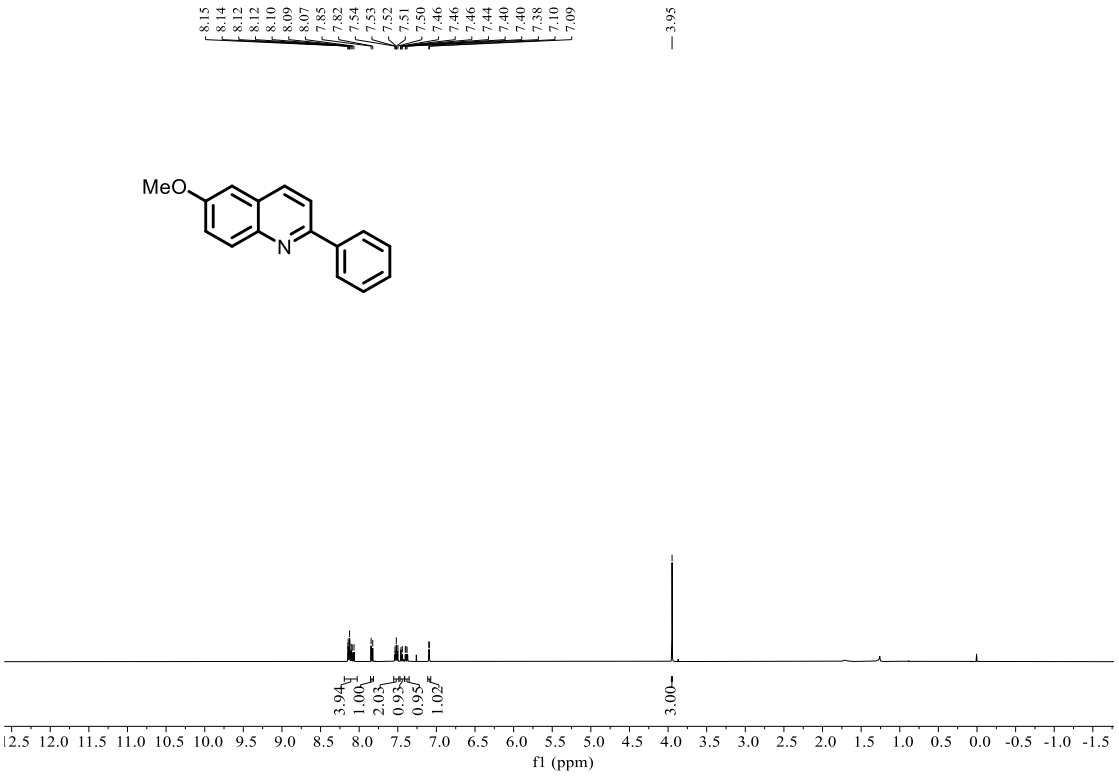
^{13}C NMR (101 MHz, $CDCl_3$) of 2-phenyl-7-(trifluoromethoxy)quinoline (**2b**)



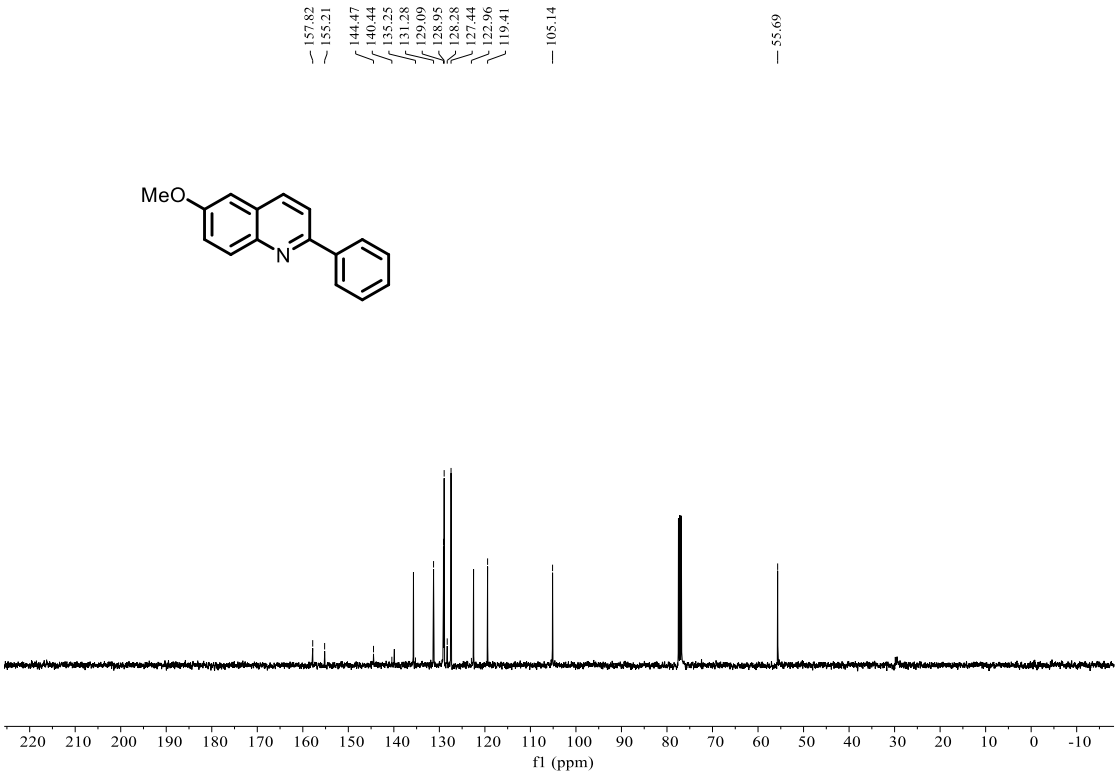
^{19}F NMR (376 MHz, $CDCl_3$) of 2-phenyl-7-(trifluoromethoxy)quinoline (**2b**)



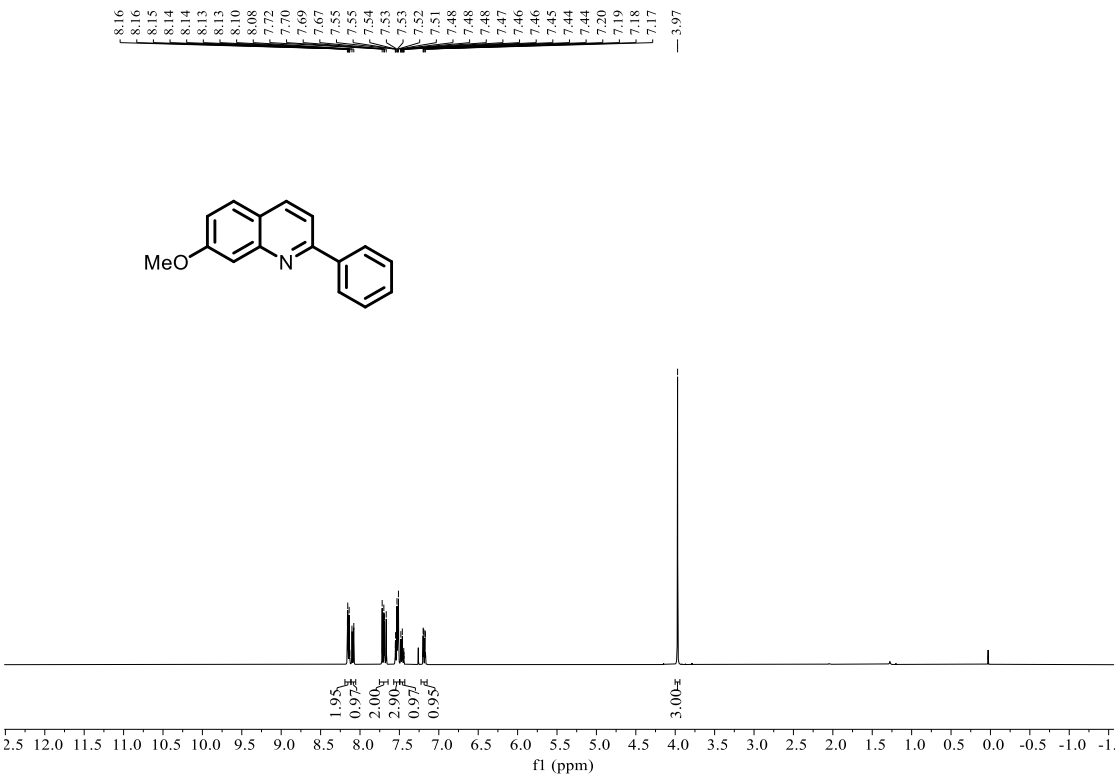
^{1}H NMR (400 MHz, $CDCl_3$) of 6-methoxy-2-phenylquinoline (**3b**)



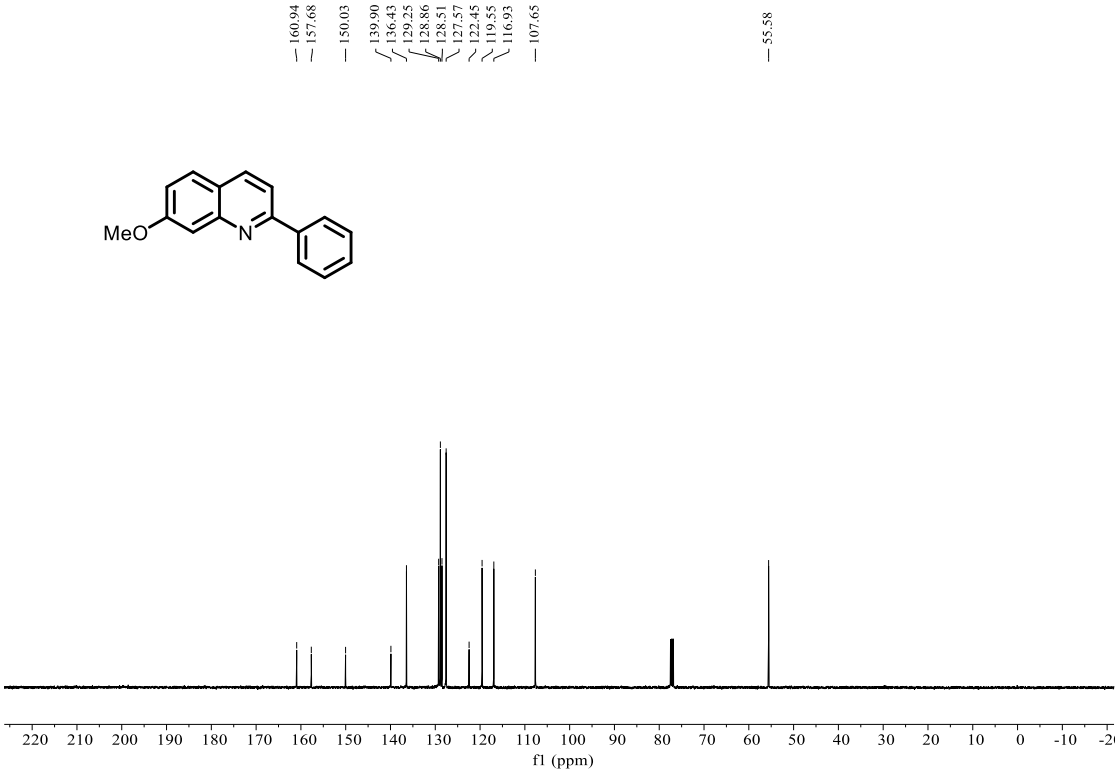
^{13}C NMR (101 MHz, $CDCl_3$) of 6-methoxy-2-phenylquinoline (**3b**)



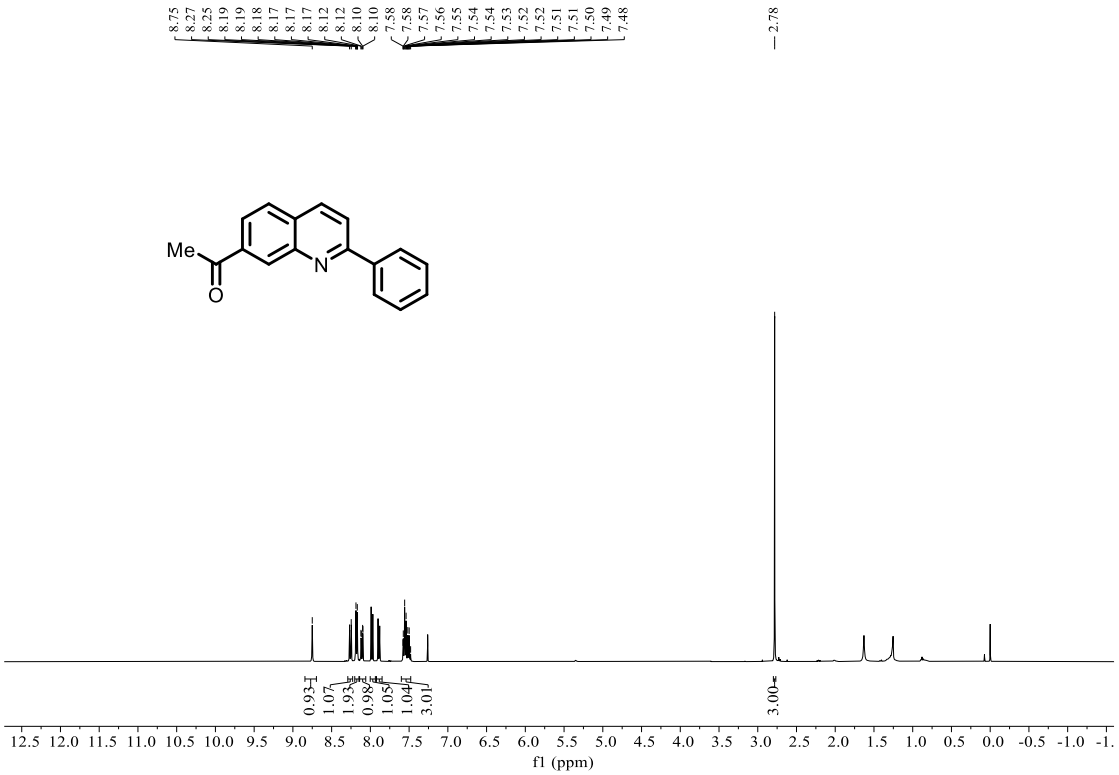
^{1}H NMR (400 MHz, $CDCl_3$) of 7-methoxy-2-phenylquinoline (**4b**)



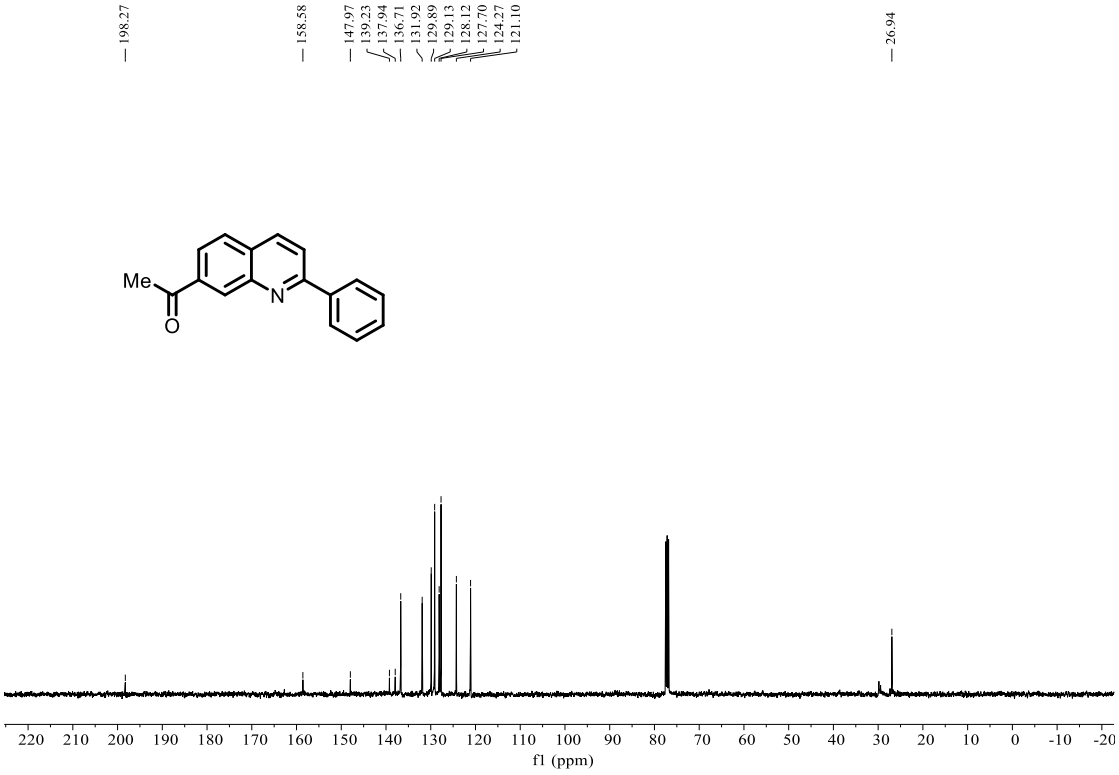
^{13}C NMR (101 MHz, $CDCl_3$) of 7-methoxy-2-phenylquinoline (**4b**)



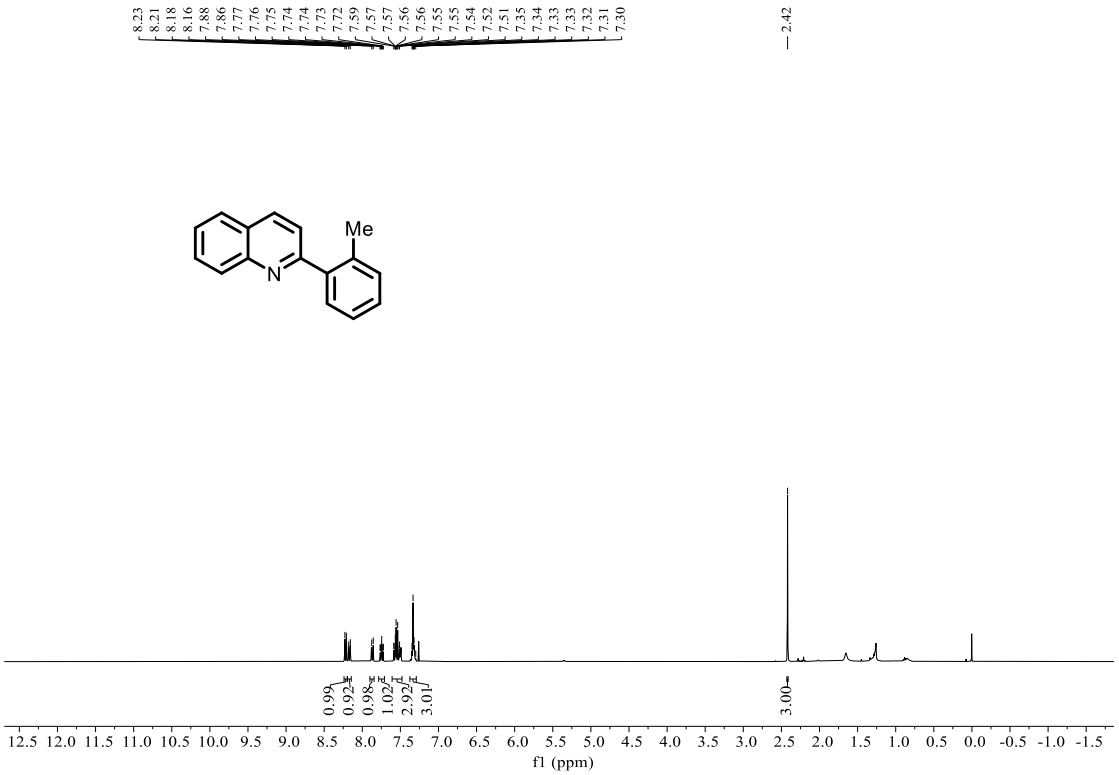
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-phenylquinolin-7-yl)ethan-1-one (**5b**)



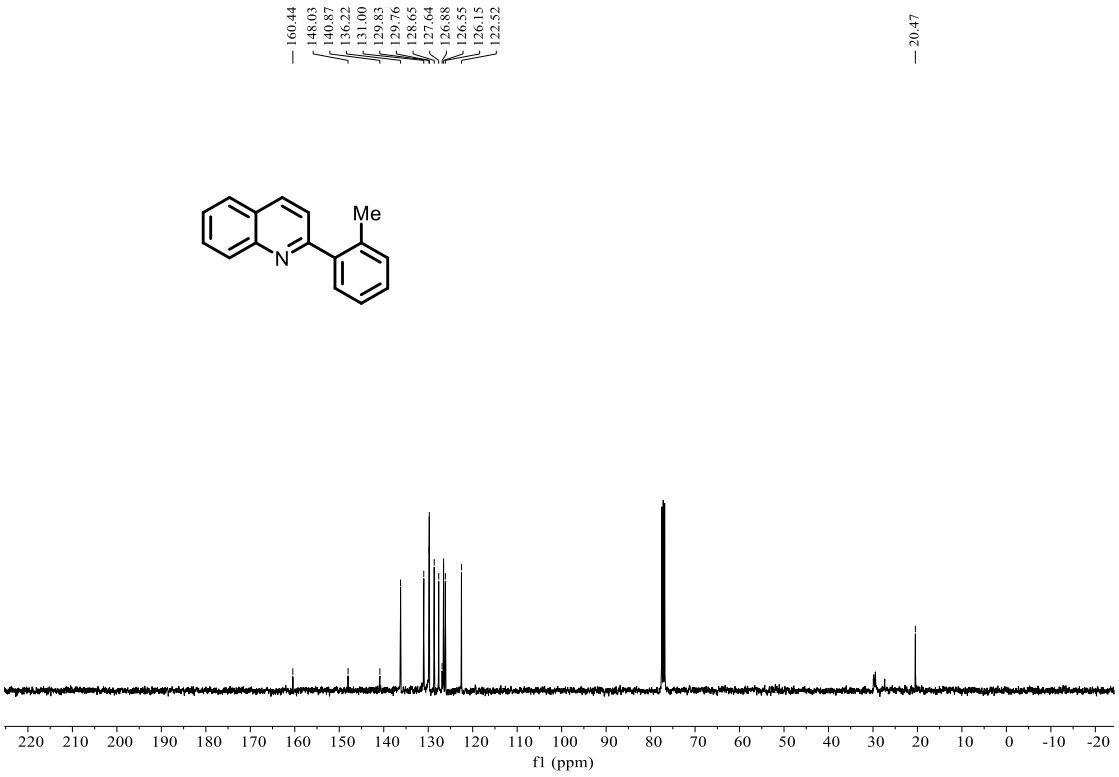
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-phenylquinolin-7-yl)ethan-1-one (**5b**)



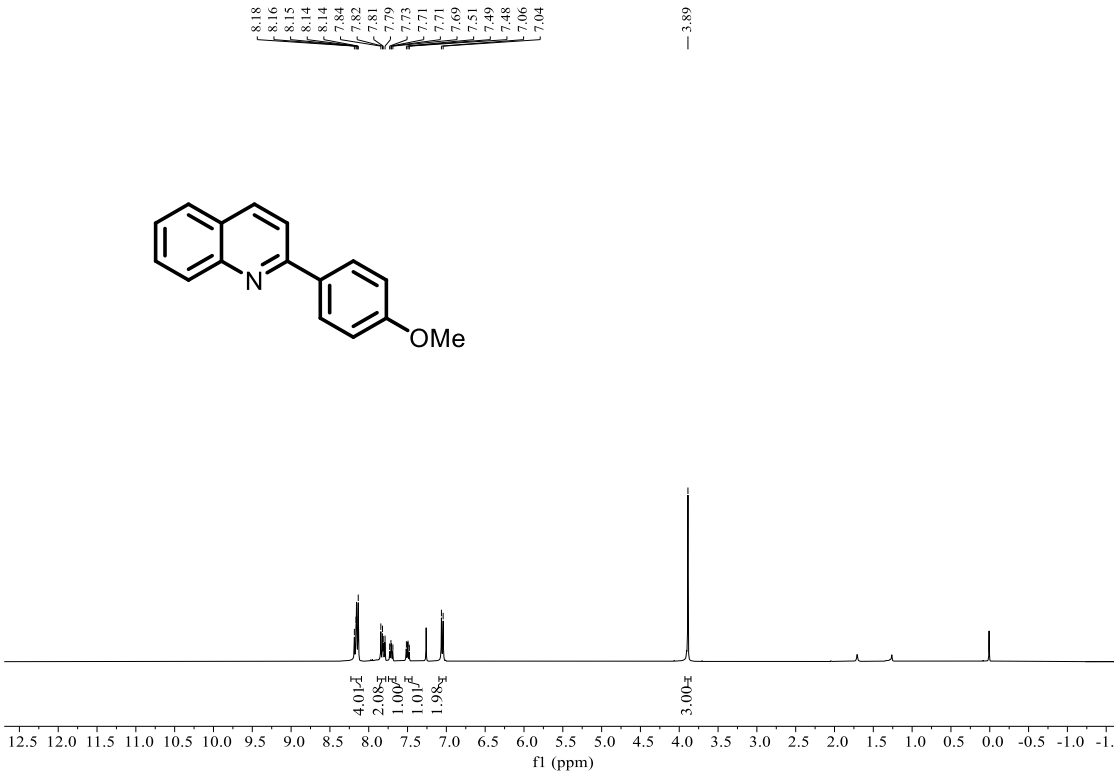
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(*o*-tolyl)quinoline (**6b**)



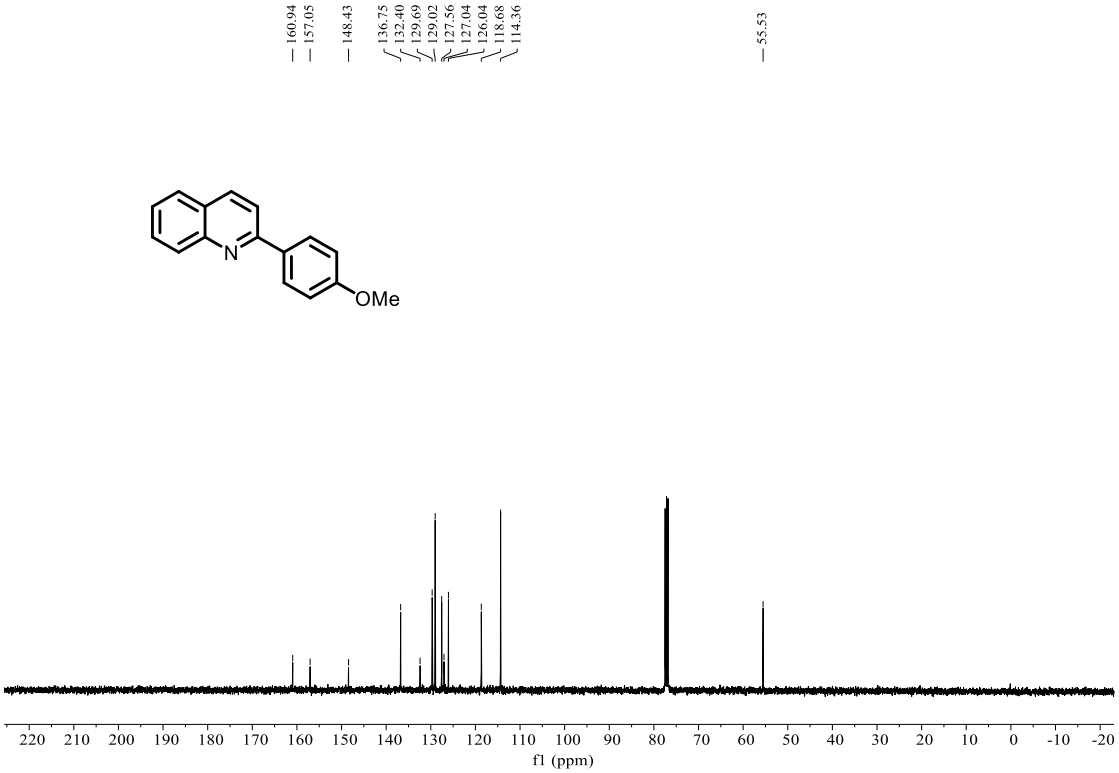
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(*o*-tolyl)quinoline (**6b**)



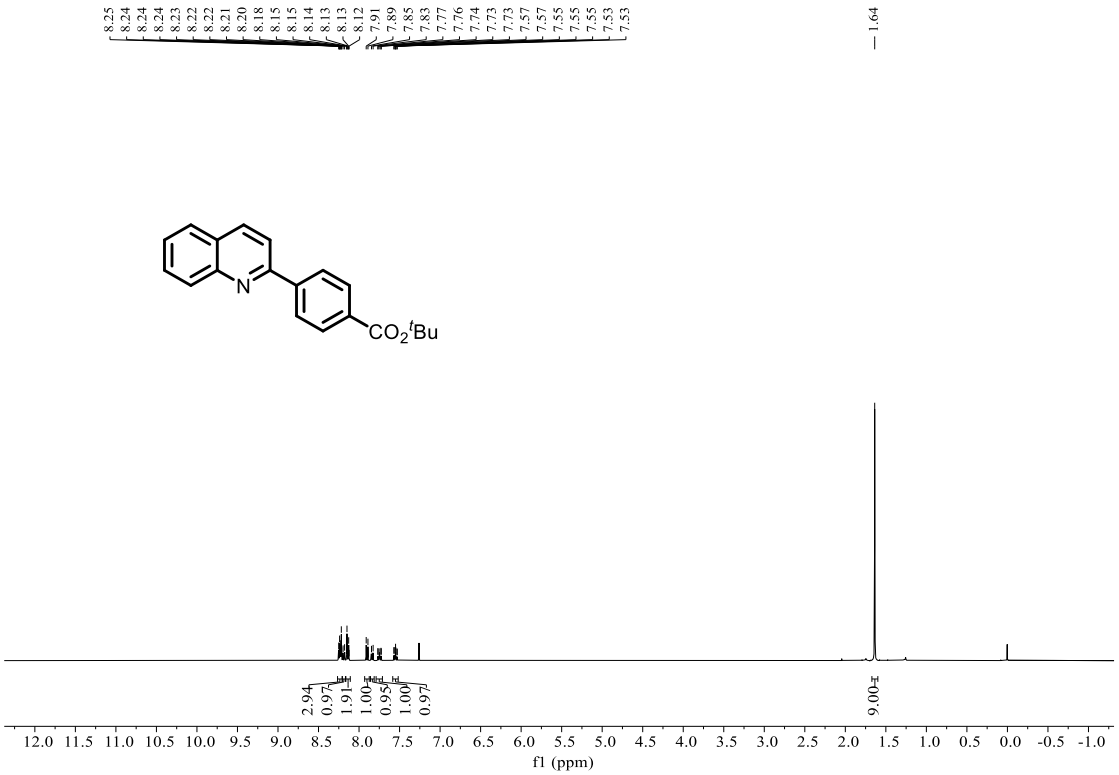
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(4-methoxyphenyl)quinoline (**7b**)



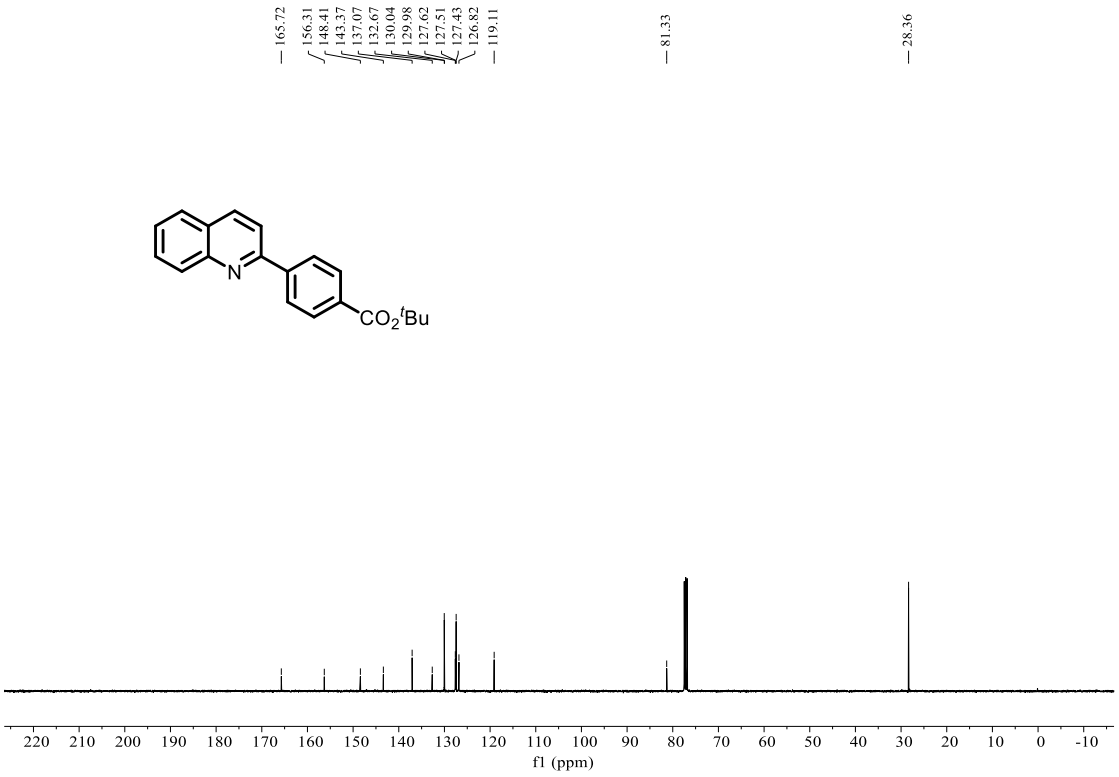
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(4-methoxyphenyl)quinoline (**7b**)



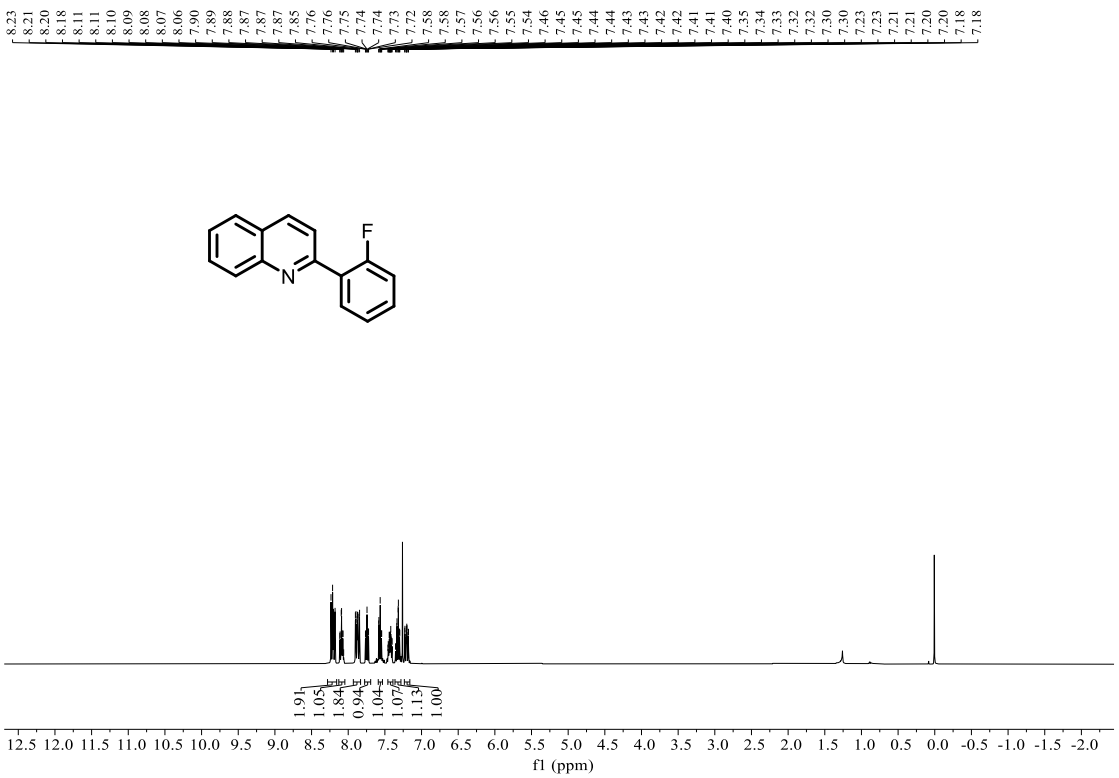
^{1}H NMR (400 MHz, $CDCl_3$) of *tert*-butyl 4-(quinolin-2-yl)benzoate (**8b**)



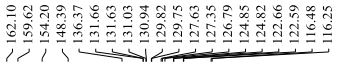
^{13}C NMR (101 MHz, $CDCl_3$) of *tert*-butyl 4-(quinolin-2-yl)benzoate (**8b**)

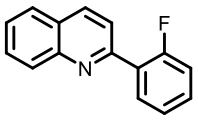


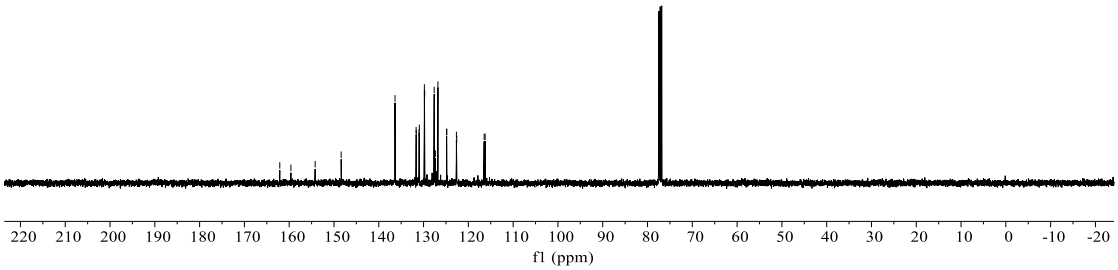
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(2-fluorophenyl)quinoline (**9b**)



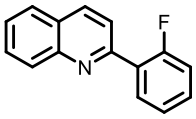
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(2-fluorophenyl)quinoline (**9b**)

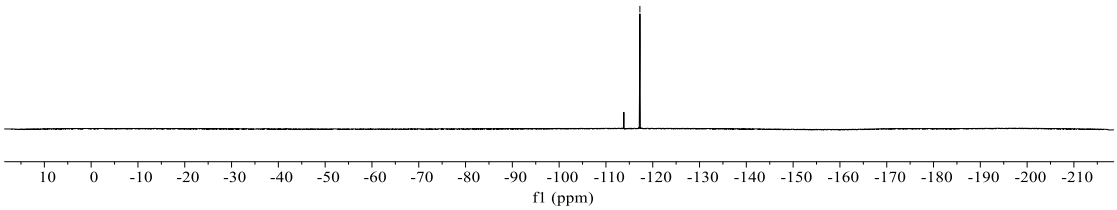




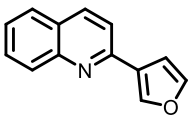


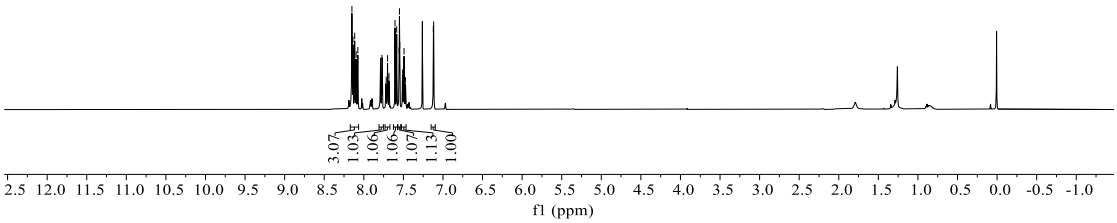
^{19}F NMR (376 MHz, $CDCl_3$) of 2-(2-fluorophenyl)quinoline (**9b**)



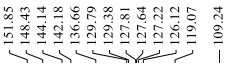


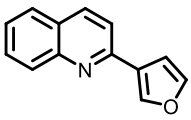
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(furan-3-yl)quinoline (**10b**)

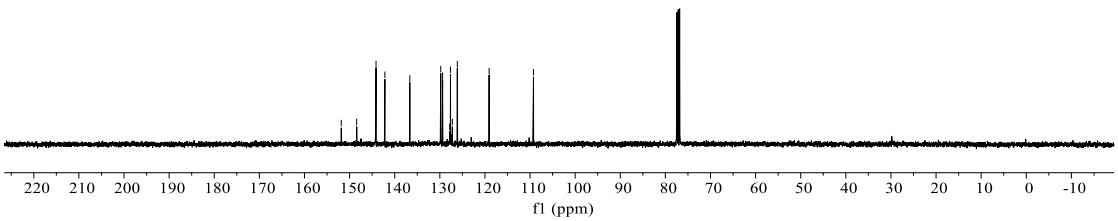




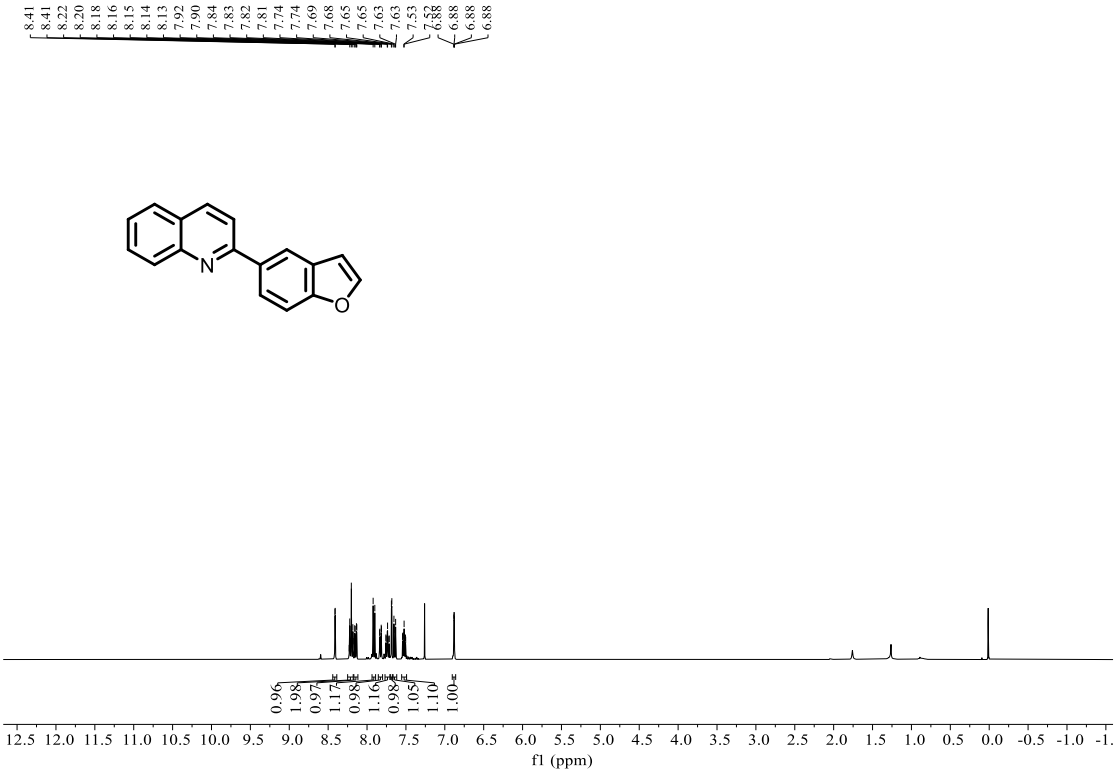
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(furan-3-yl)quinoline (**10b**)



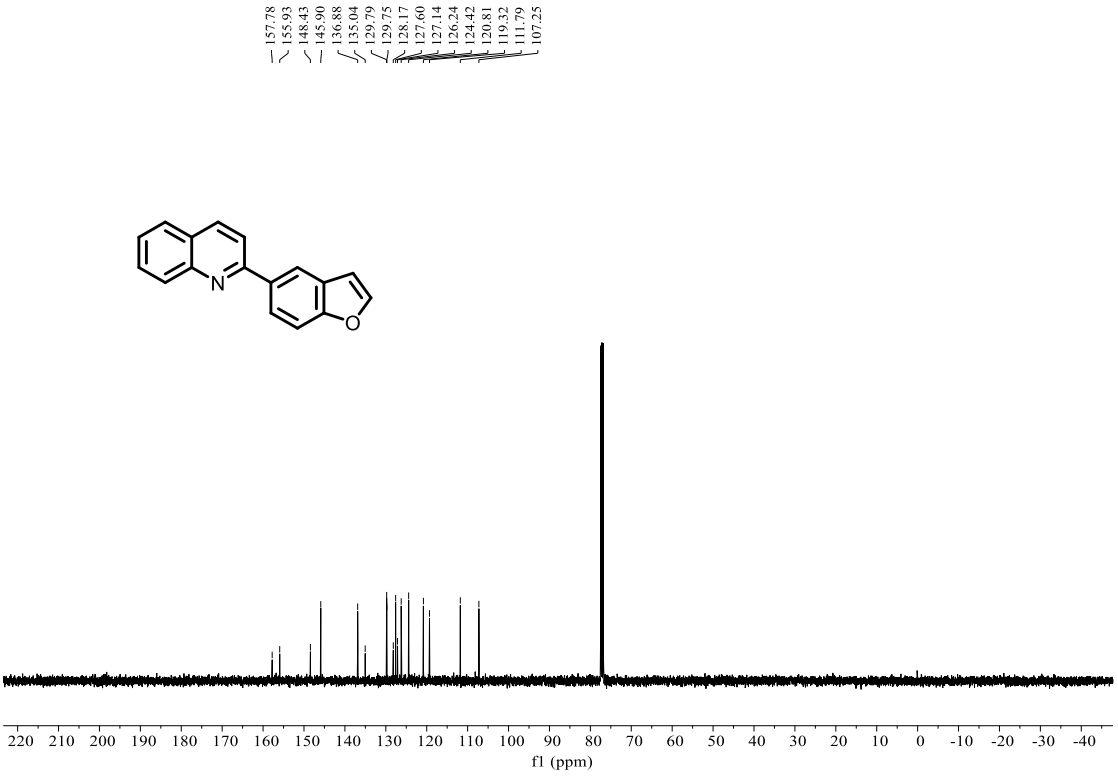




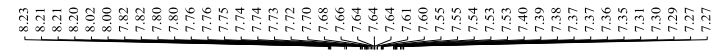
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(benzofuran-5-yl)quinoline (**11b**)

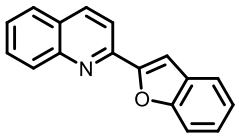


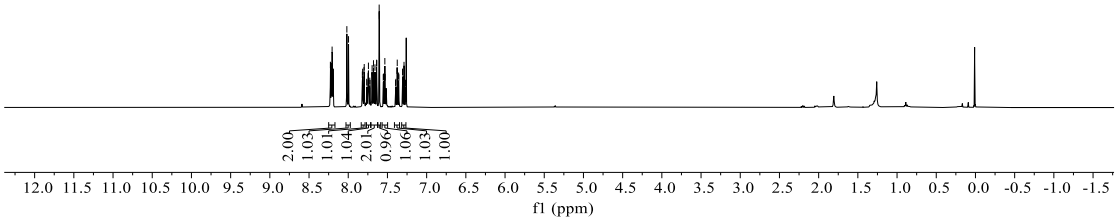
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(benzofuran-5-yl)quinoline (**11b**)



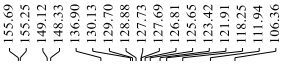
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(benzofuran-2-yl)quinoline (**12b**)

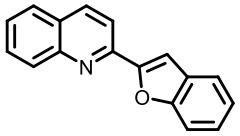


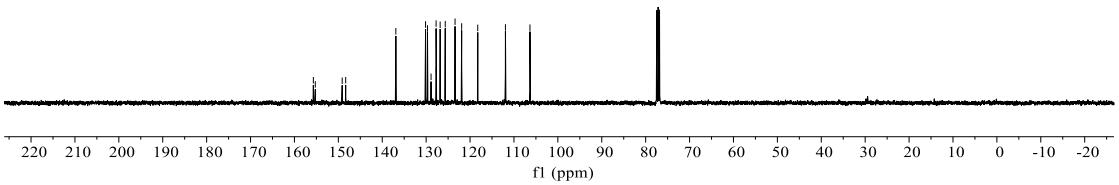




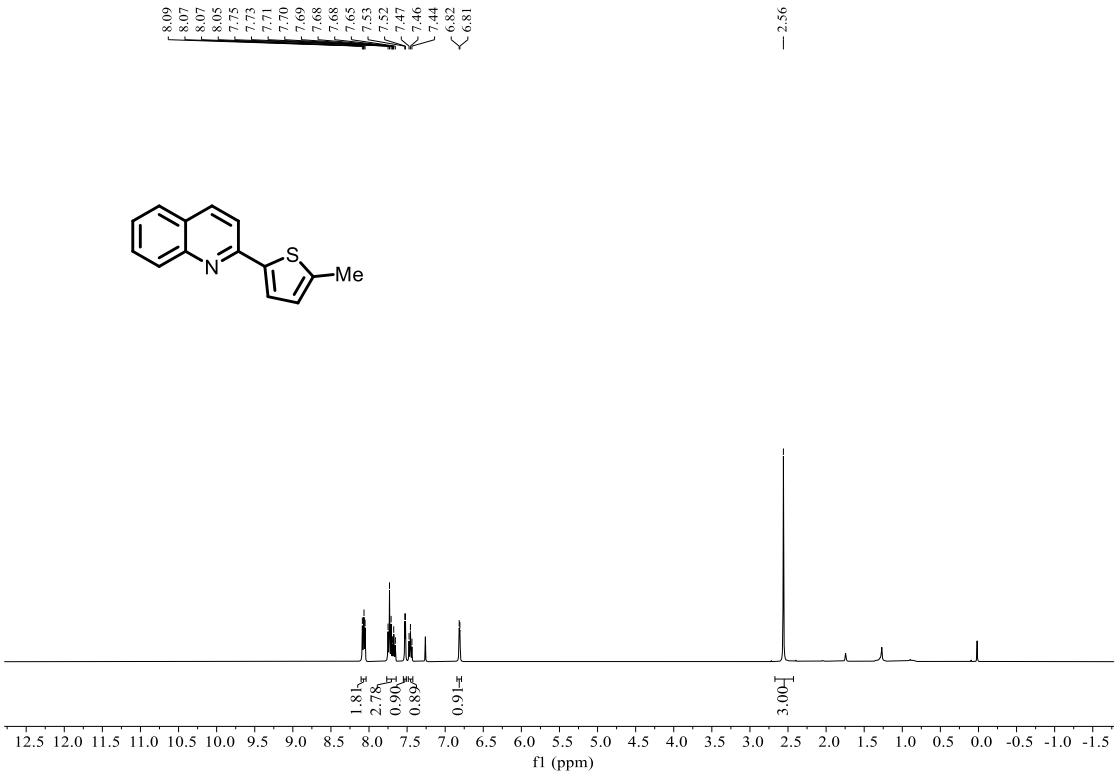
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(benzofuran-2-yl)quinoline (**12b**)



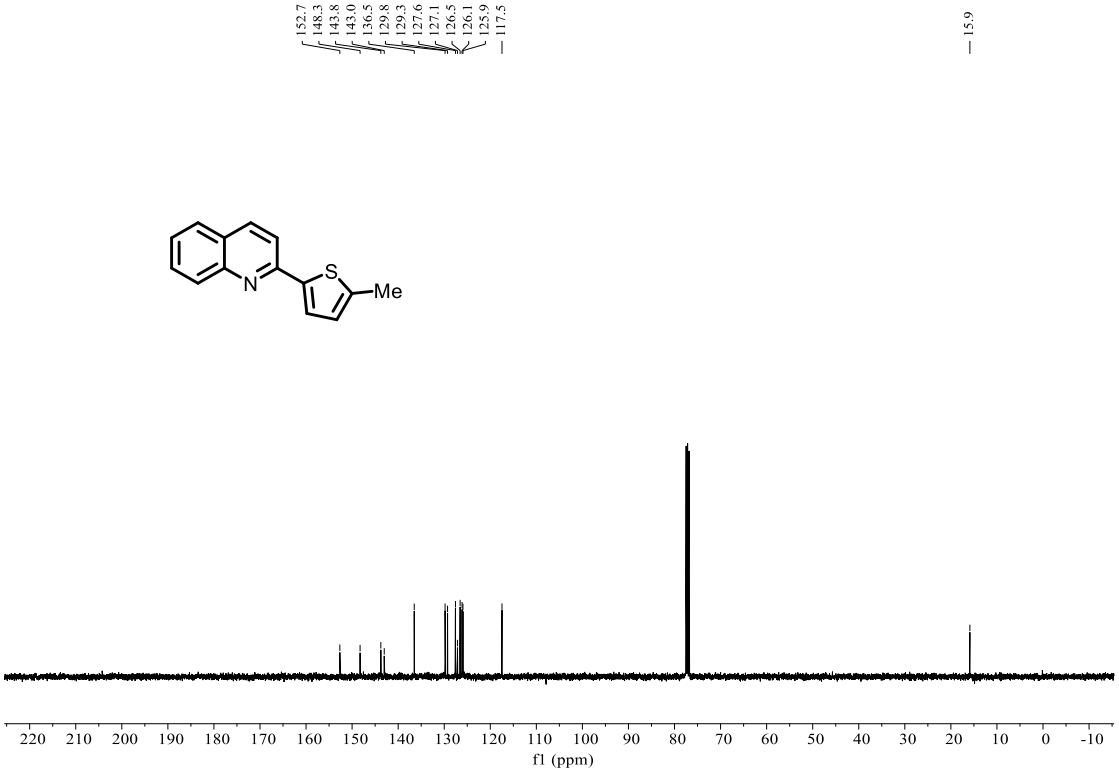




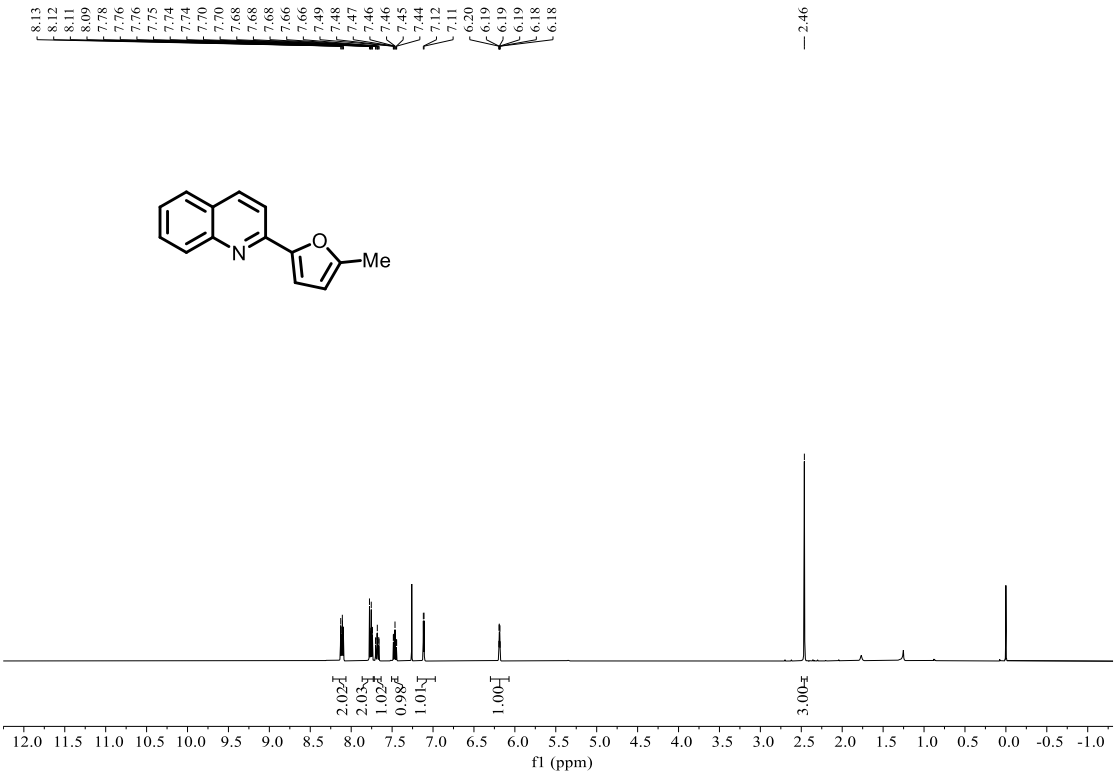
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(5-methylthiophen-2-yl)quinoline (**13b**)



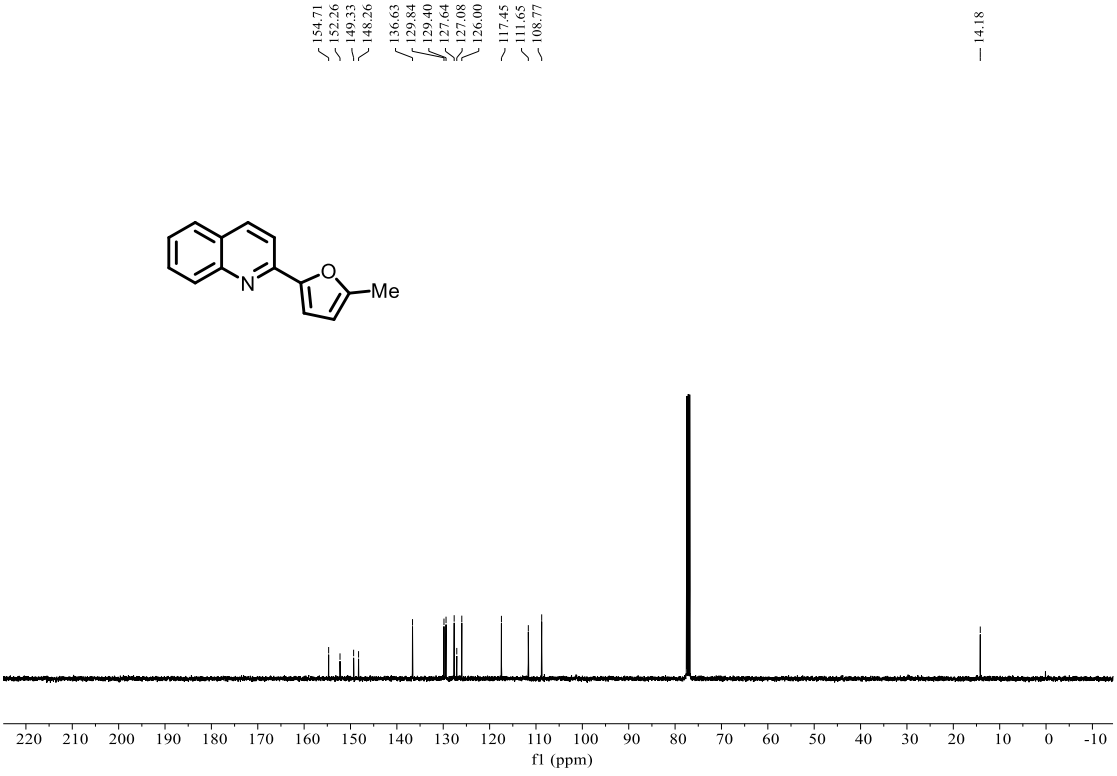
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(5-methylthiophen-2-yl)quinoline (**13b**)



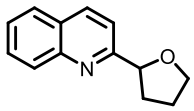
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(5-methylfuran-2-yl)quinoline (**14b**)

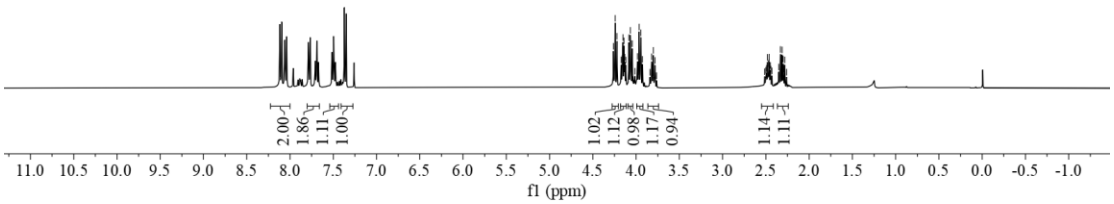


^{13}C NMR (101 MHz, $CDCl_3$) of 2-(5-methylfuran-2-yl)quinoline (**14b**)

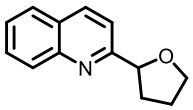


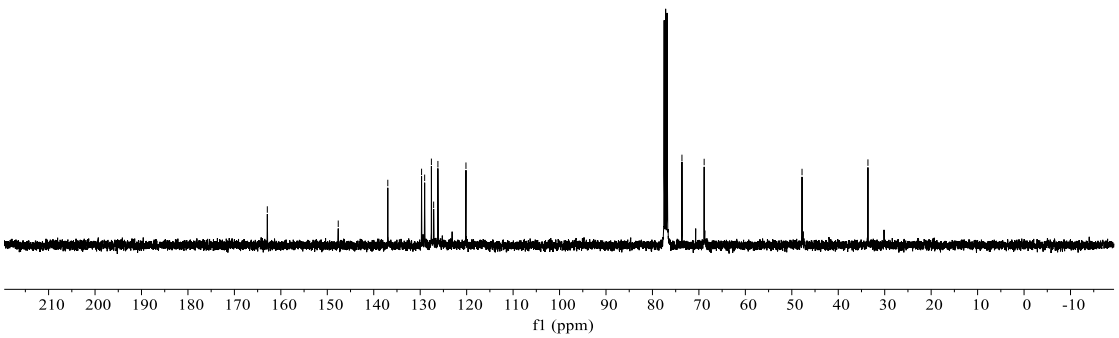
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(tetrahydrofuran-2-yl)quinoline (**15b**)



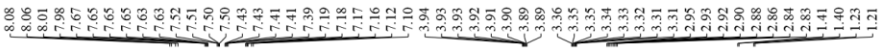


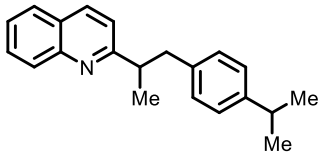
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(tetrahydrofuran-2-yl)quinoline (**15b**)

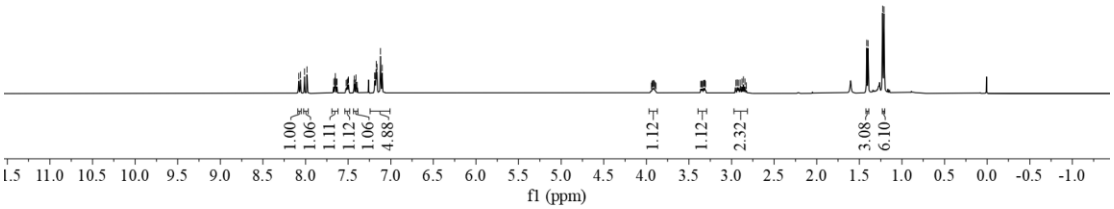




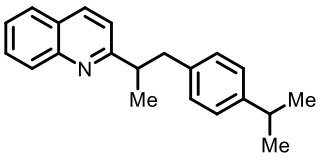
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(1-(4-isopropylphenyl)propan-2-yl)quinoline (**16b**)

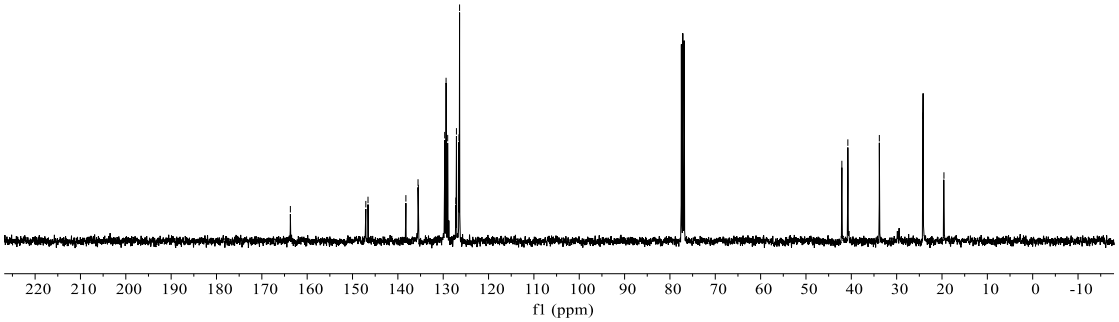




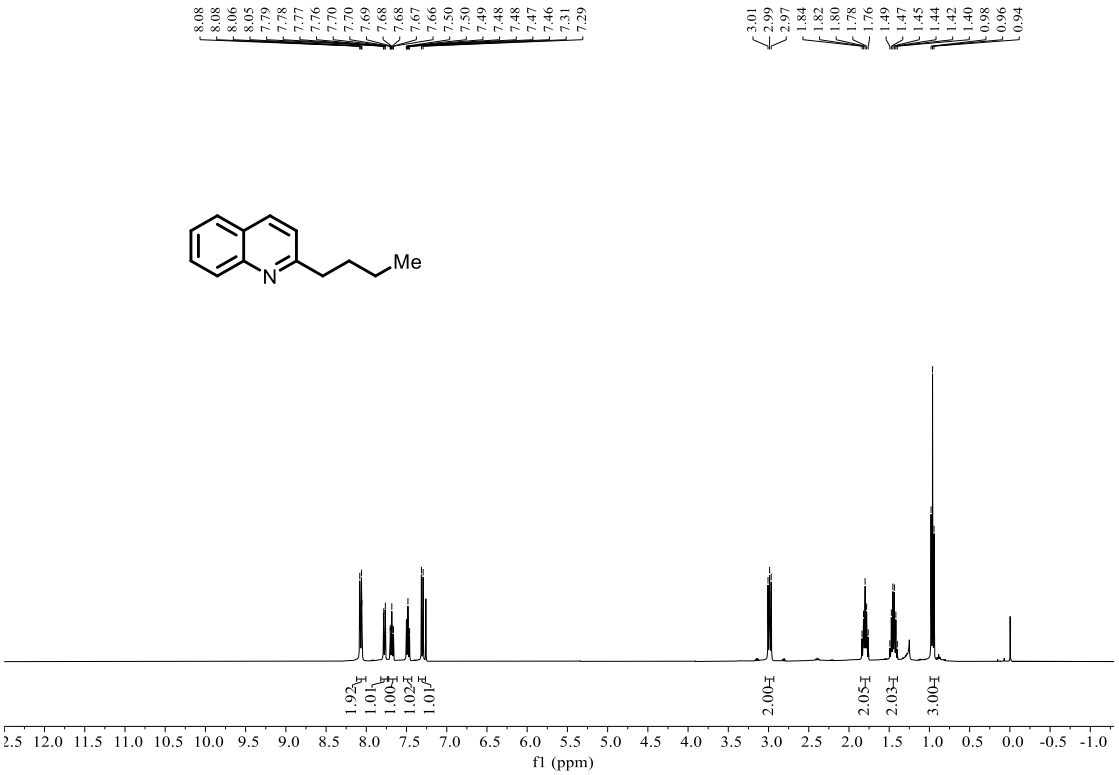


^{13}C NMR (101 MHz, $CDCl_3$) of 2-(1-(4-isopropylphenyl)propan-2-yl)quinoline (**16b**)

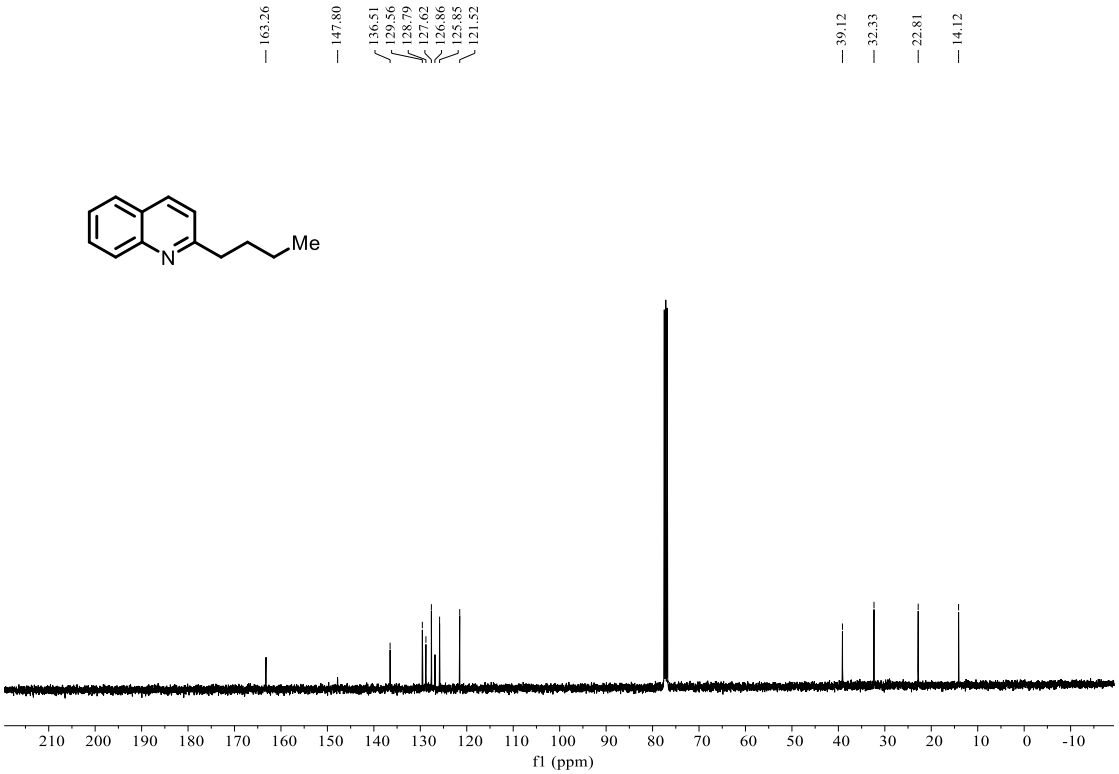




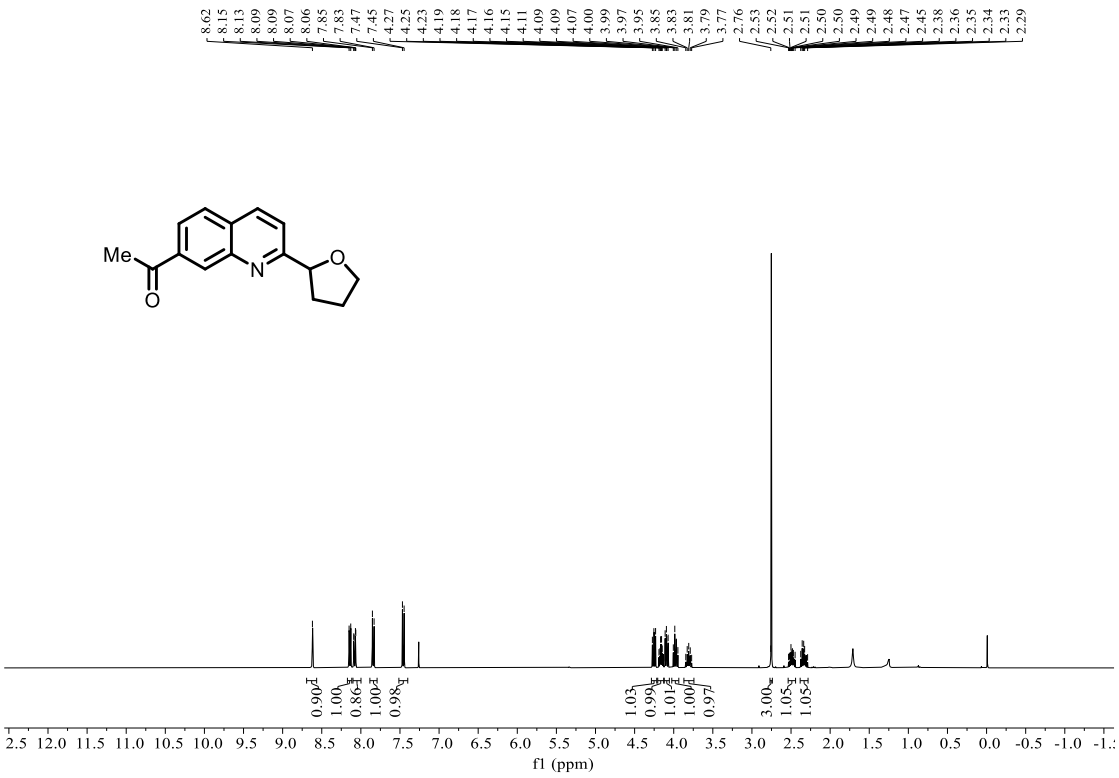
^{1}H NMR (400 MHz, $CDCl_3$) of 2-butylquinoline (**17b**)



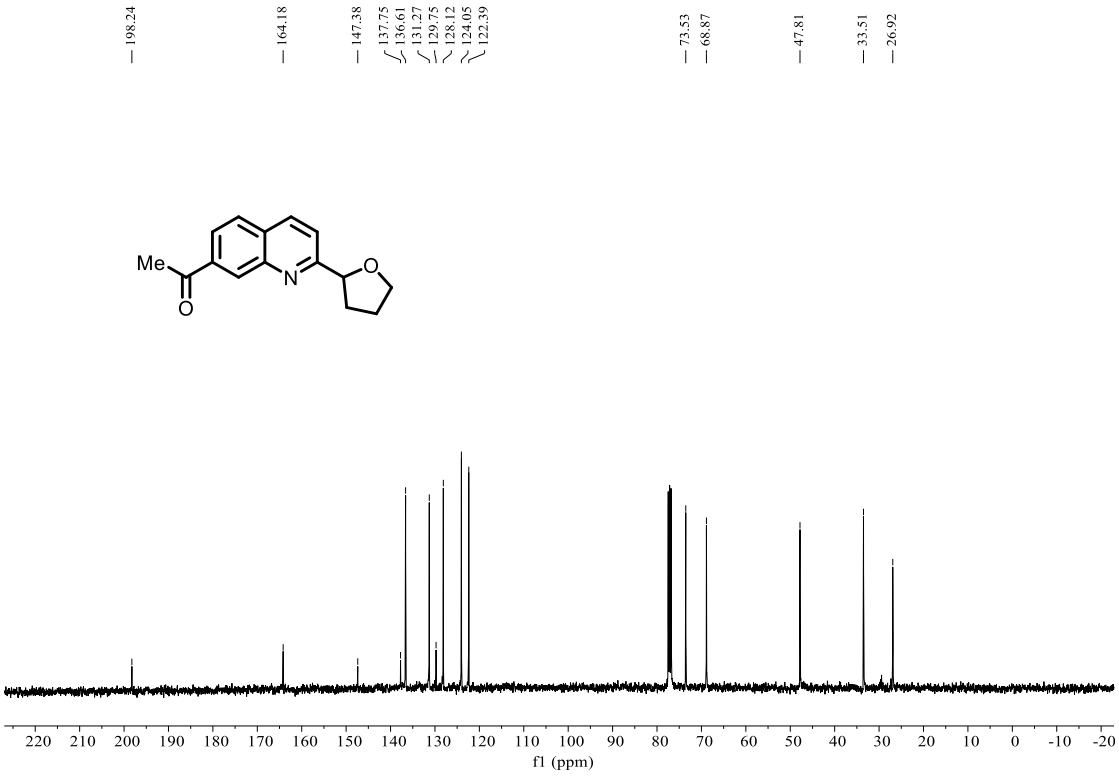
^{13}C NMR (101 MHz, $CDCl_3$) of 2-butylquinoline (**17b**)



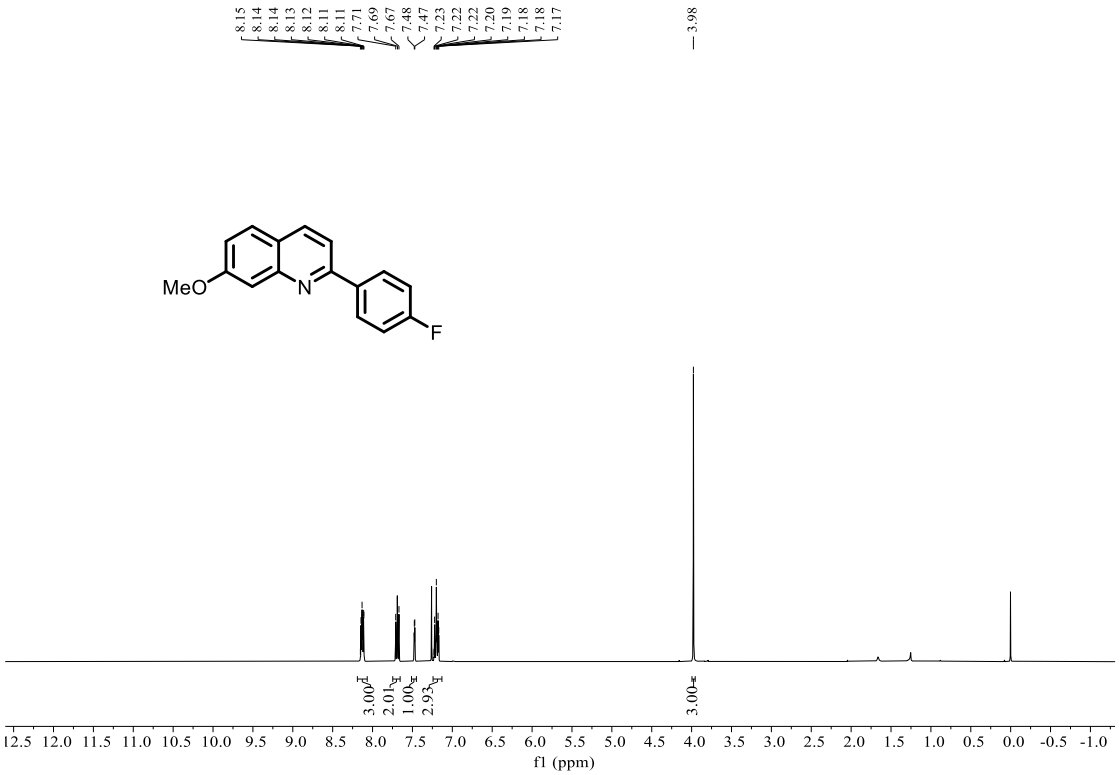
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-(tetrahydrofuran-2-yl)quinolin-7-yl)ethan-1-one (**18b**)



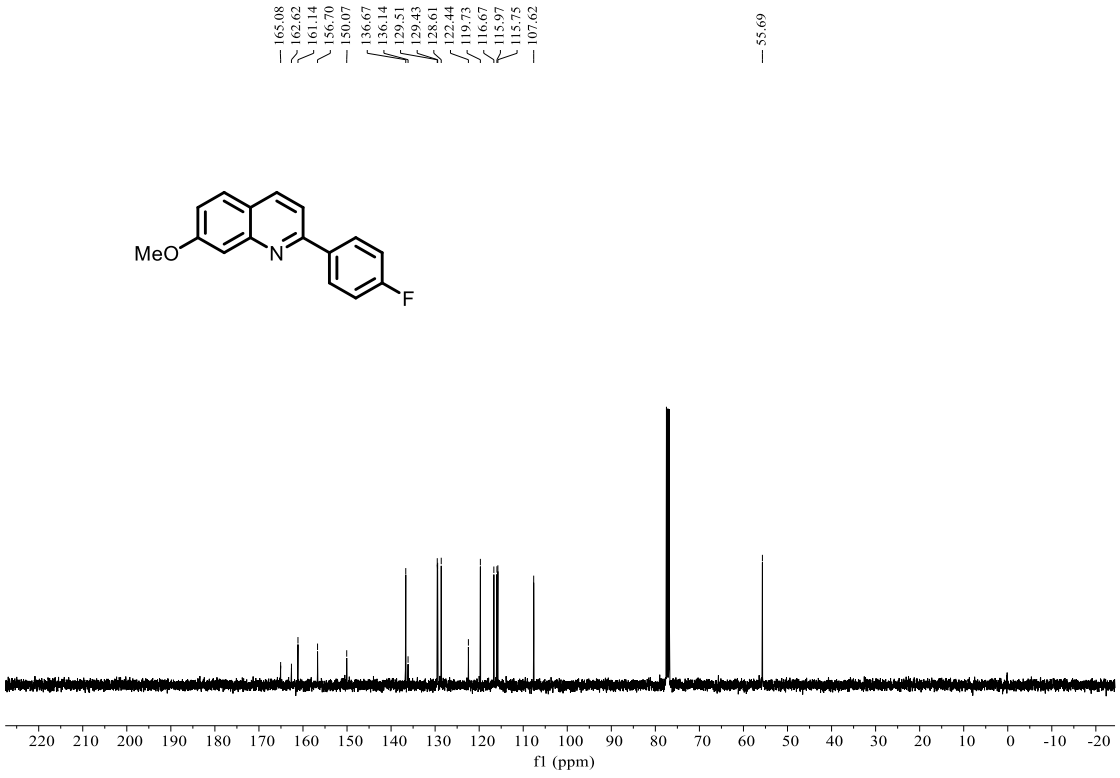
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-(tetrahydrofuran-2-yl)quinolin-7-yl)ethan-1-one (**18b**)



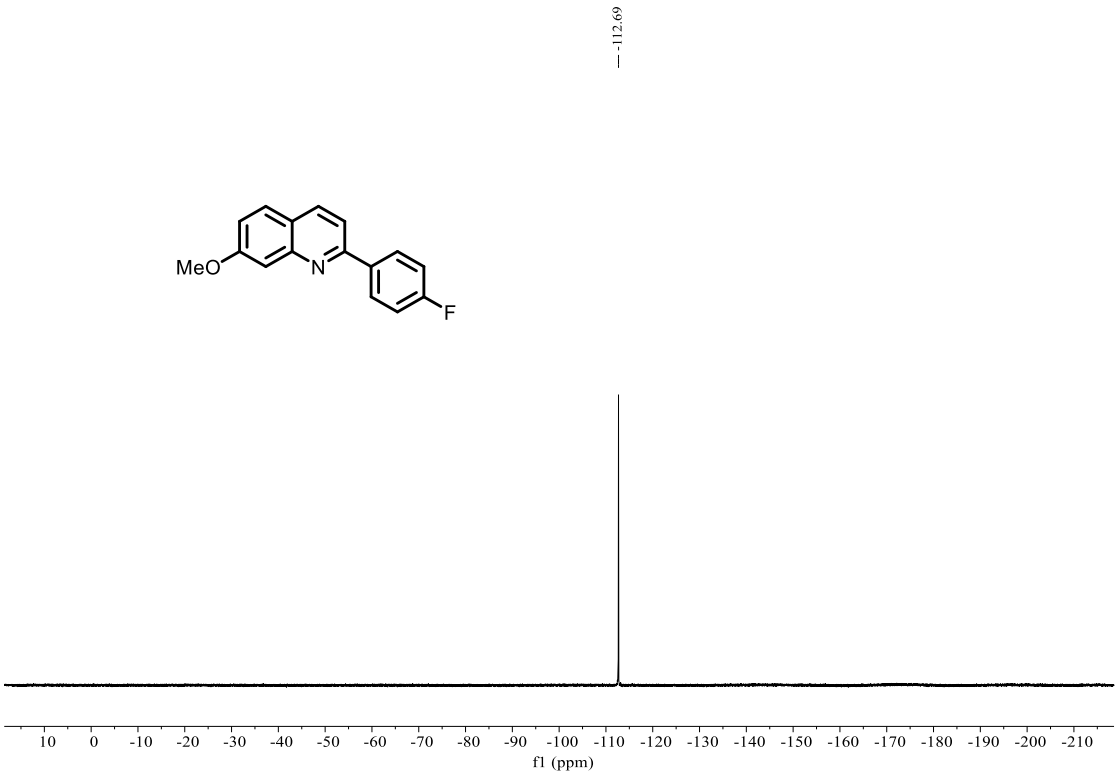
^{1}H NMR (400 MHz, $CDCl_3$) of 2-(4-fluorophenyl)-7-methoxyquinoline (**19b**)



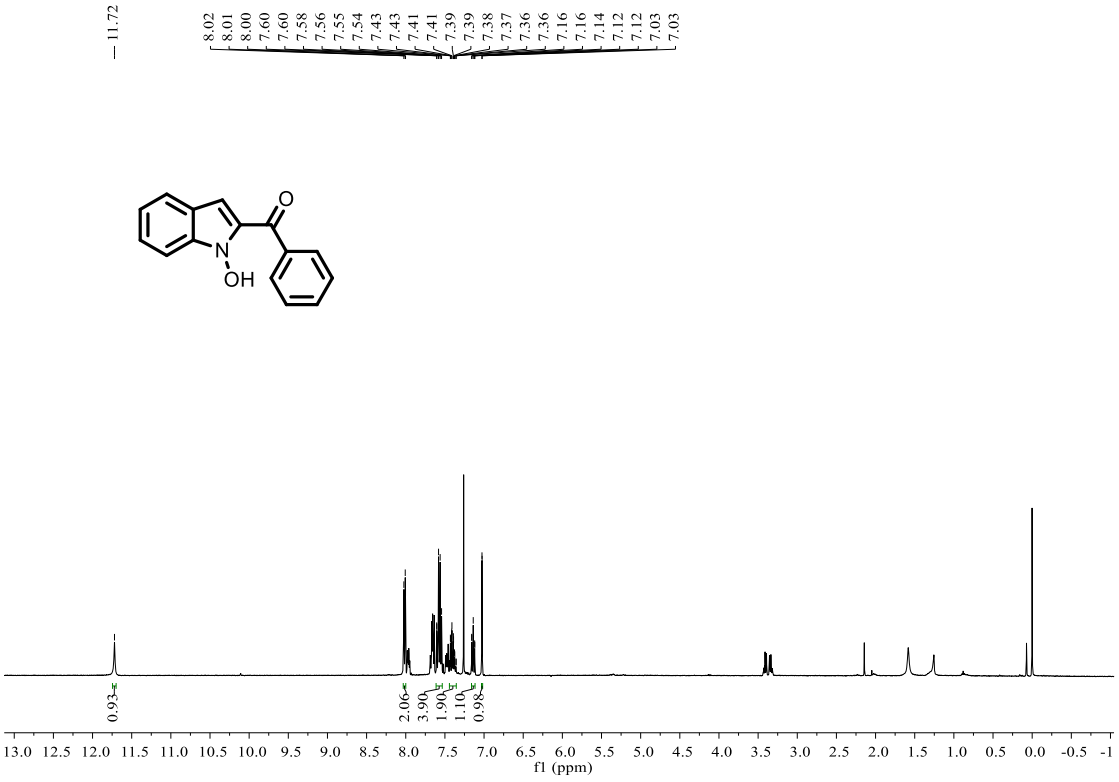
^{13}C NMR (101 MHz, $CDCl_3$) of 2-(4-fluorophenyl)-7-methoxyquinoline (**19b**)



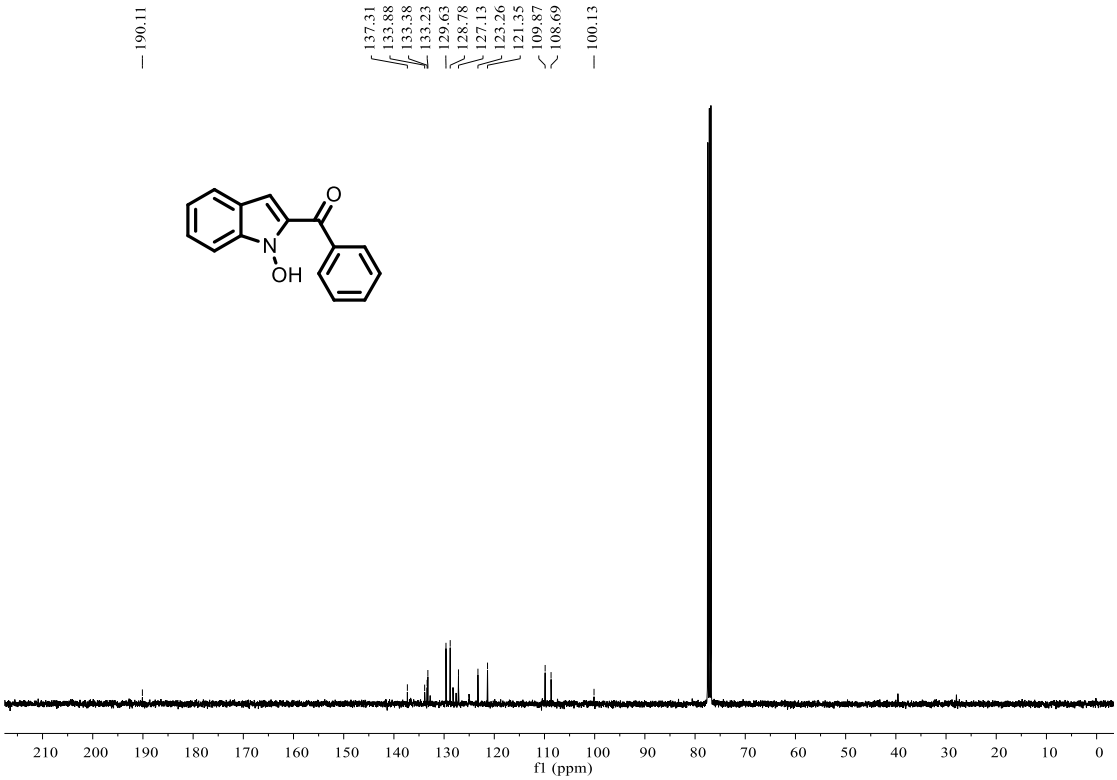
^{19}F NMR (376 MHz, $CDCl_3$) of 2-(4-fluorophenyl)-7-methoxyquinoline (**19b**)



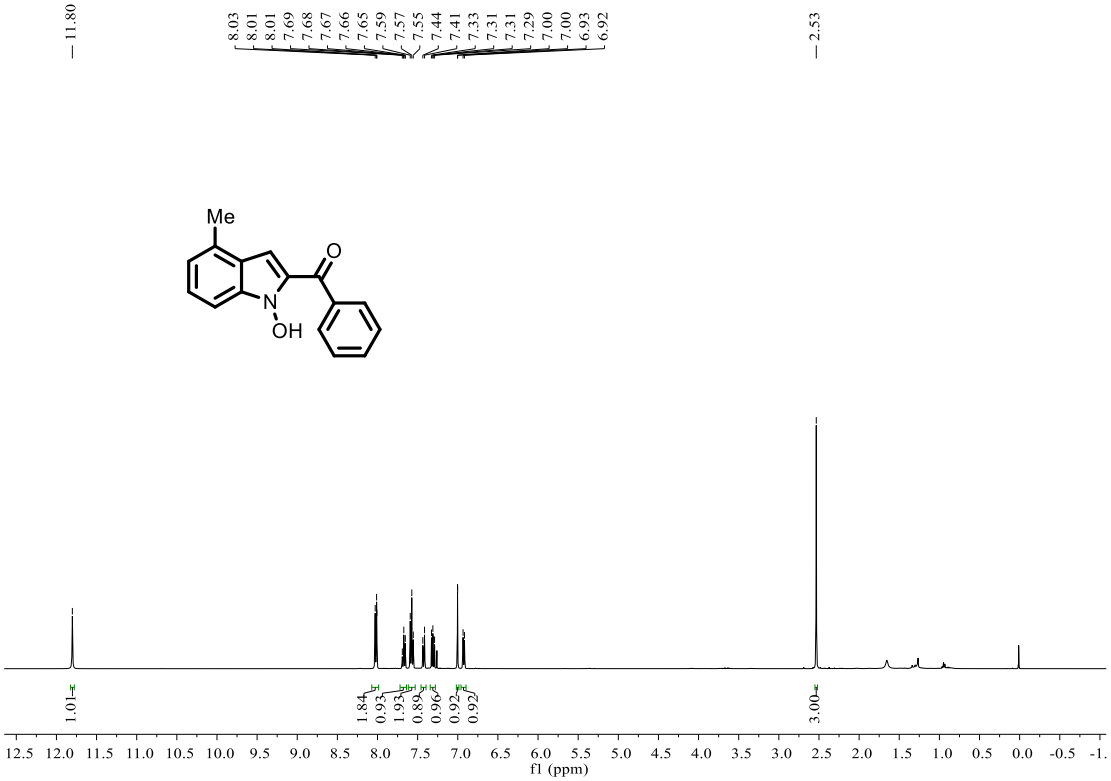
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-1H-indol-2-yl)(phenyl)methanone **(1c)**



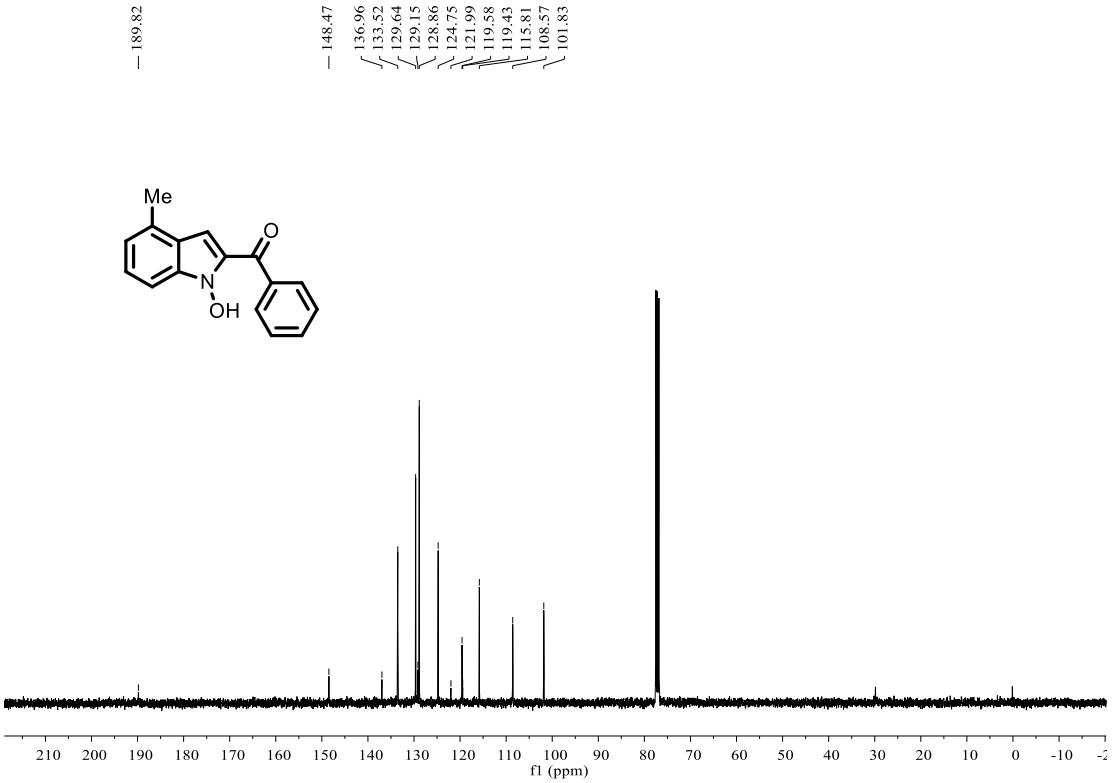
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-1H-indol-2-yl)(phenyl)methanone **(1c)**



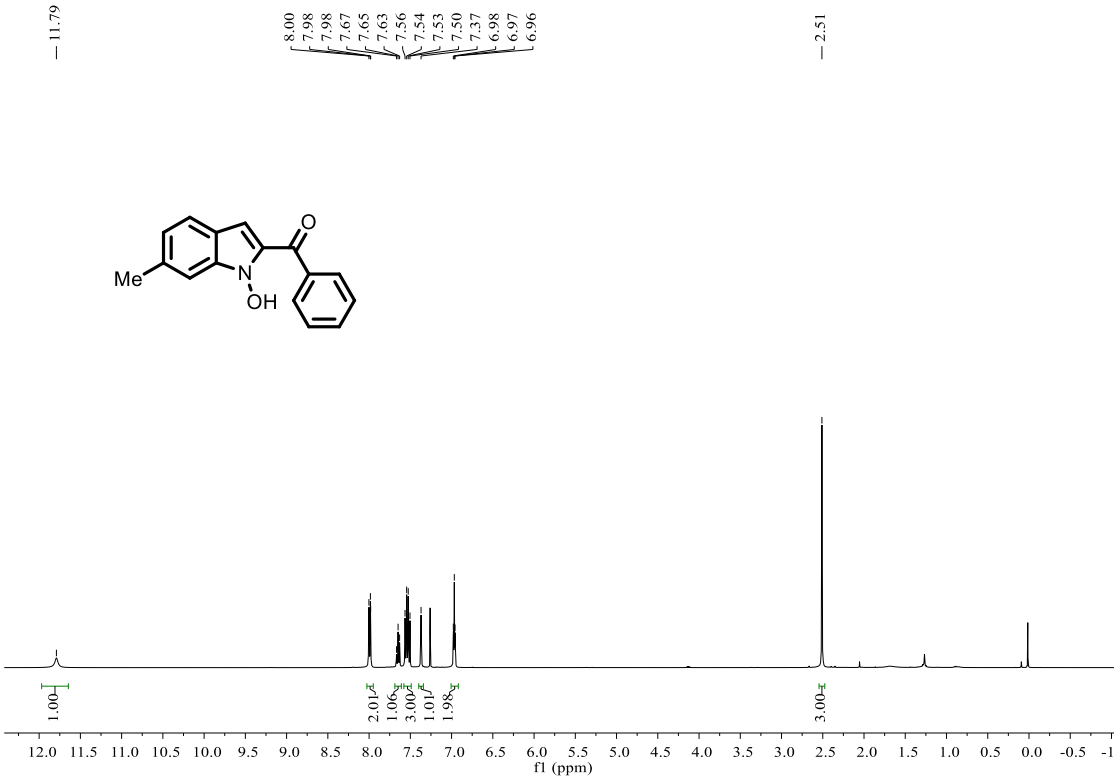
^1H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-4-methyl-1*H*-indol-2-yl)(phenyl)methanone **(2c)**



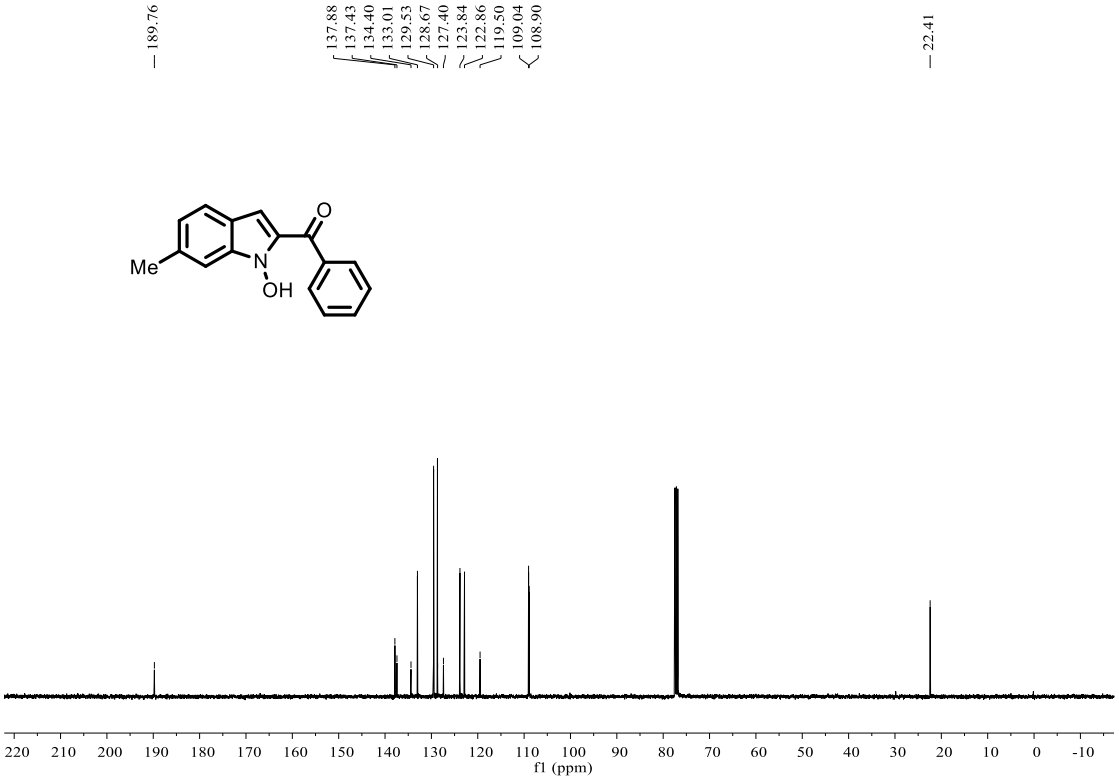
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-4-methyl-1*H*-indol-2-yl)(phenyl)methanone **(2c)**



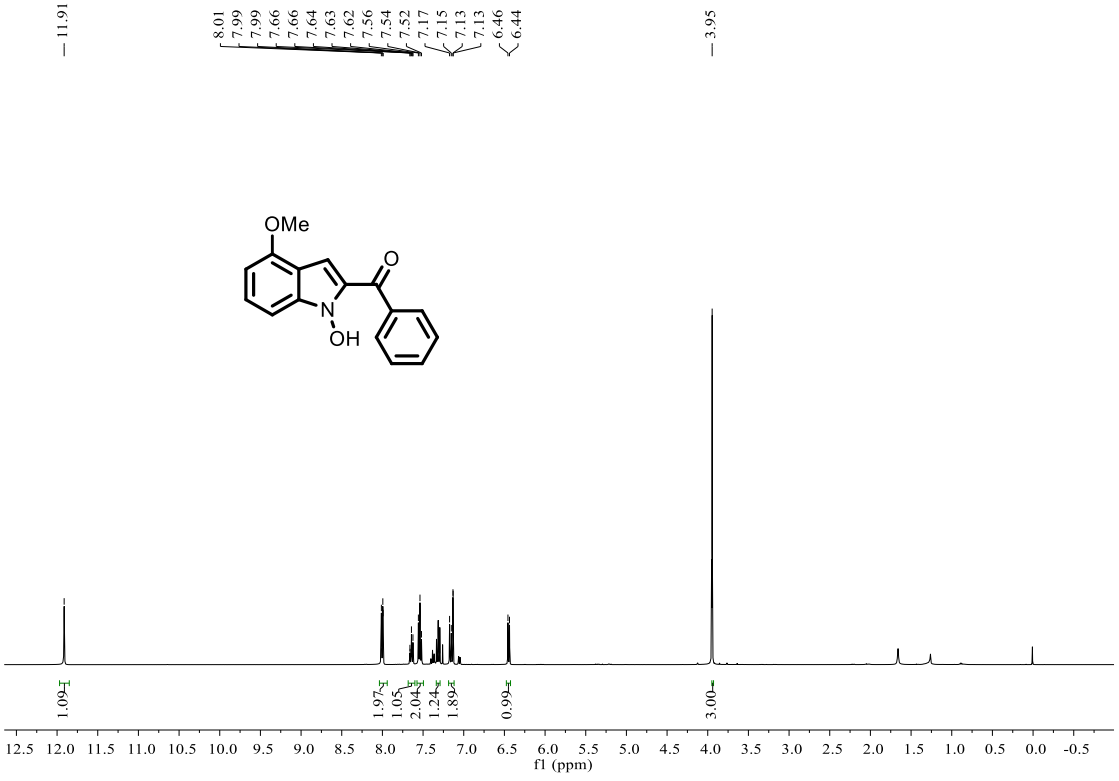
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-6-methyl-1*H*-indol-2-yl)(phenyl)methanone **(3c)**



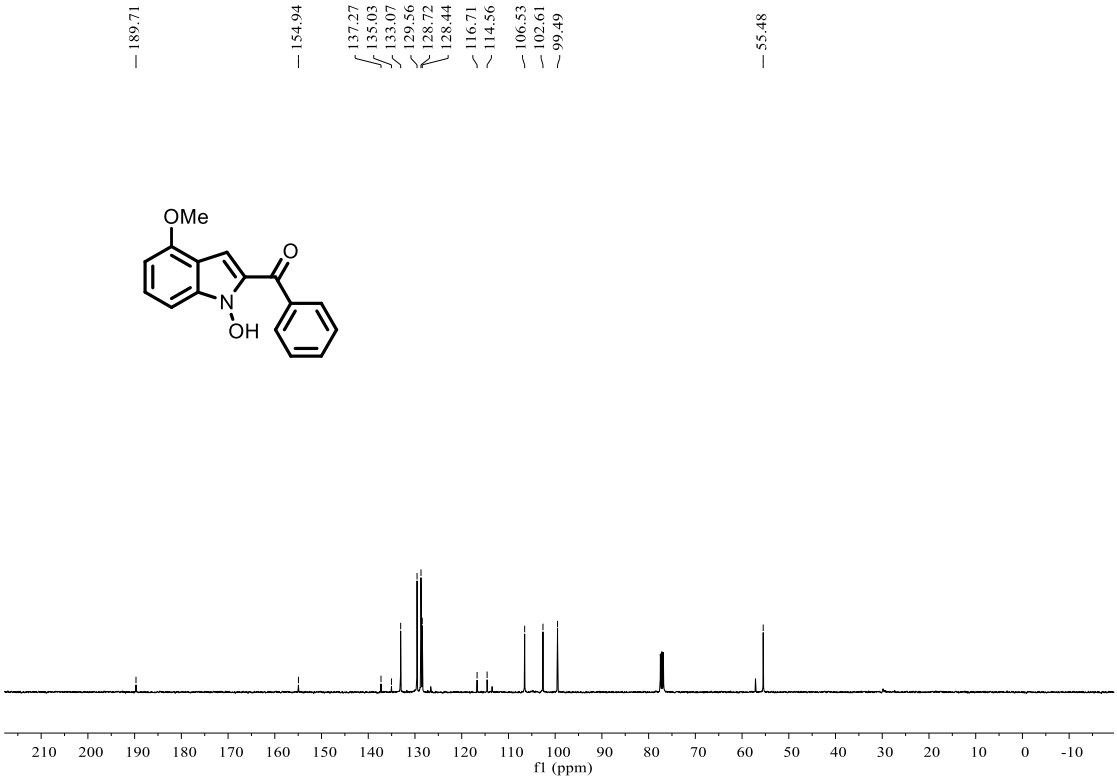
^{13}C NMR (101 MHz, $CDCl_3$) of 1-hydroxy-6-methyl-1*H*-indol-2-yl)(phenyl)methanone **(3c)**



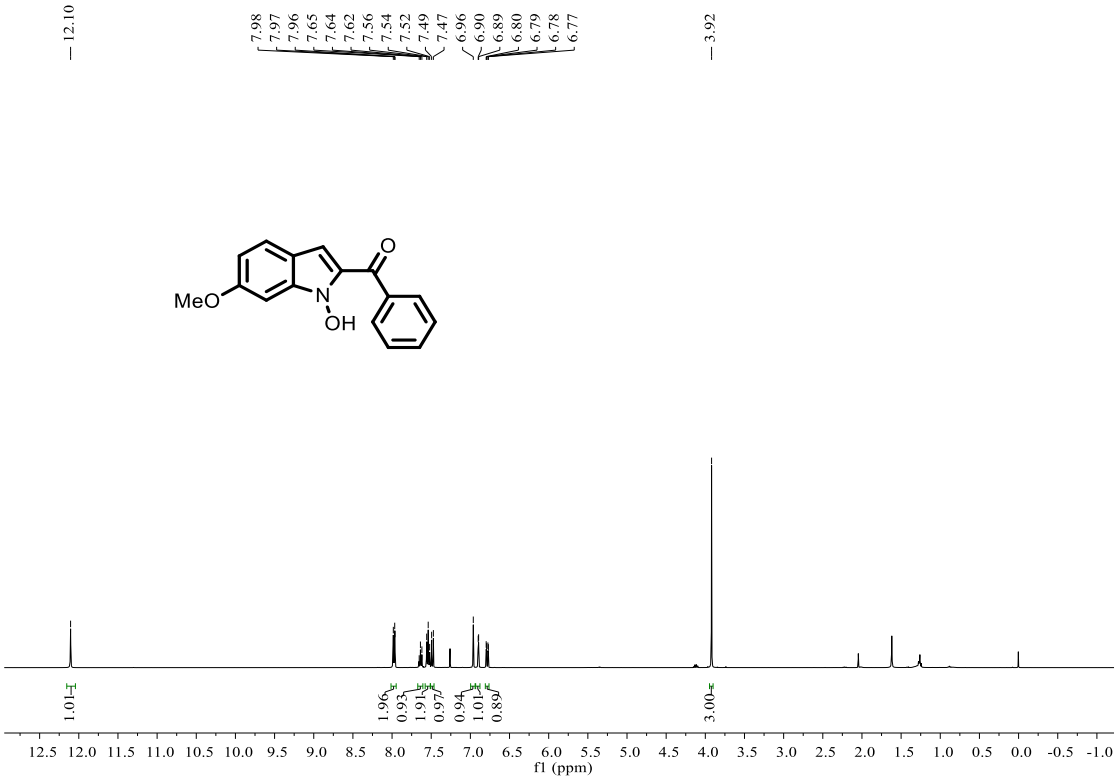
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-4-methoxy-1*H*-indol-2-yl)(phenyl)methanone **(4c)**



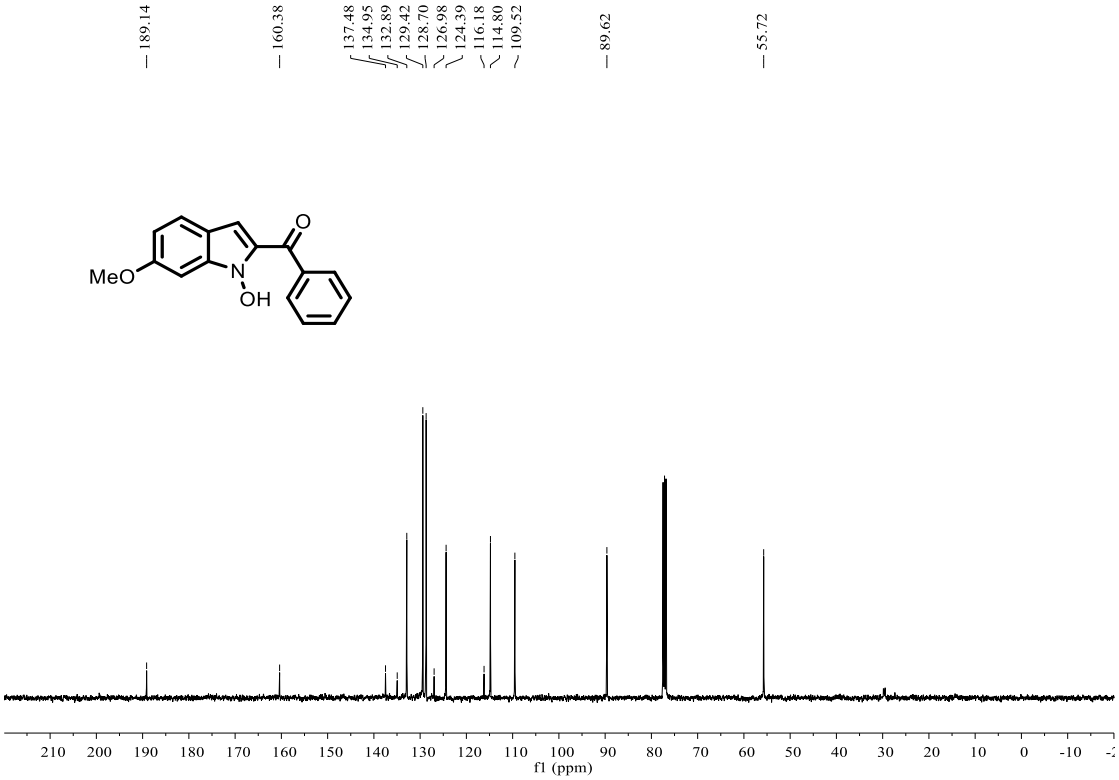
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-4-methoxy-1*H*-indol-2-yl)(phenyl)methanone **(4c)**



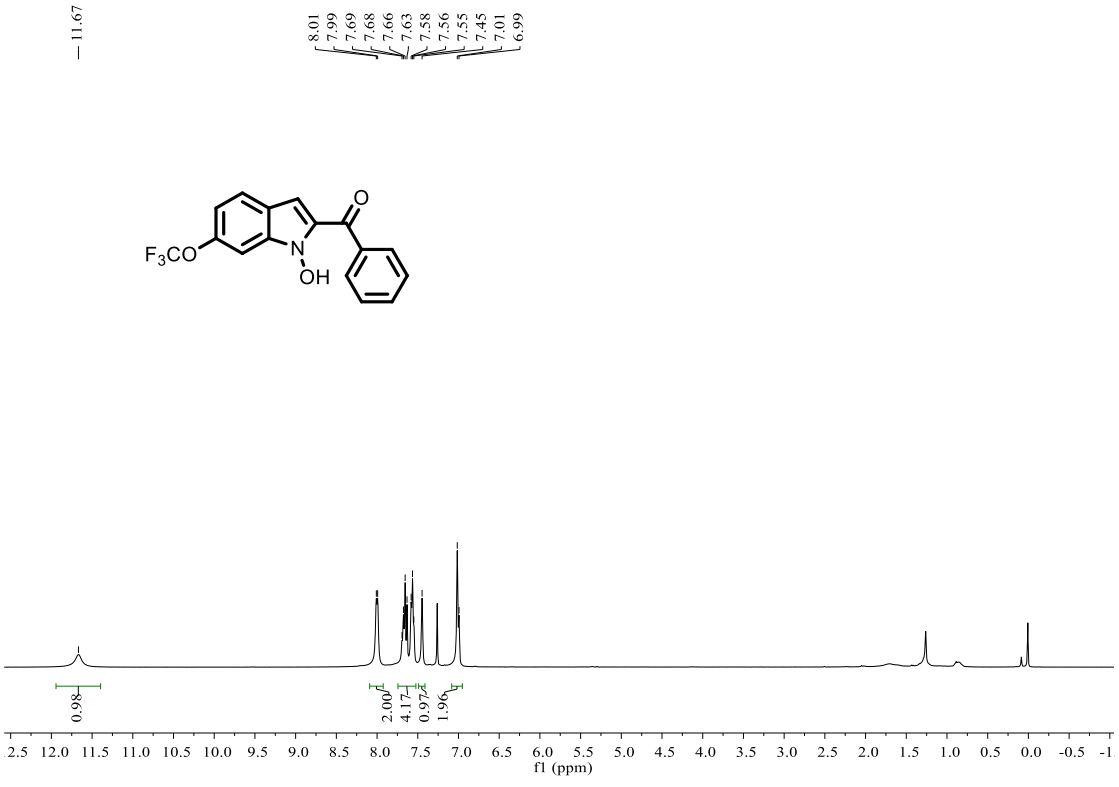
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-6-methoxy-1*H*-indol-2-yl)(phenyl)methanone **(5c)**



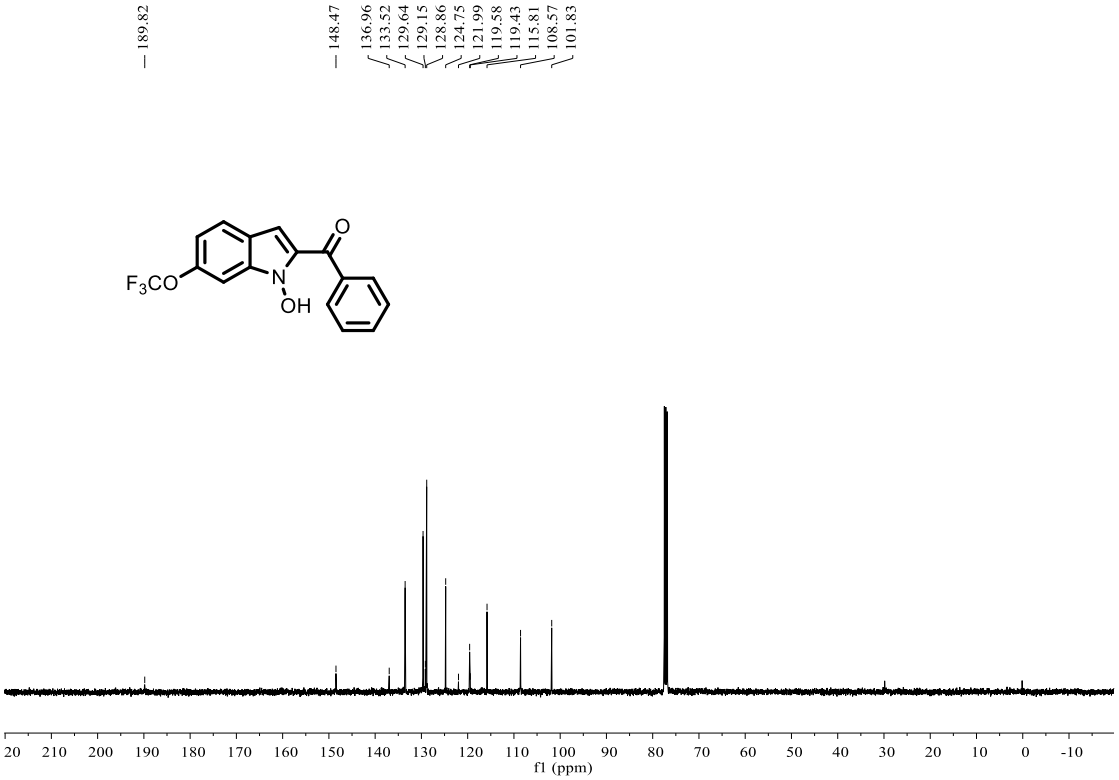
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-6-methoxy-1*H*-indol-2-yl)(phenyl)methanone **(5c)**



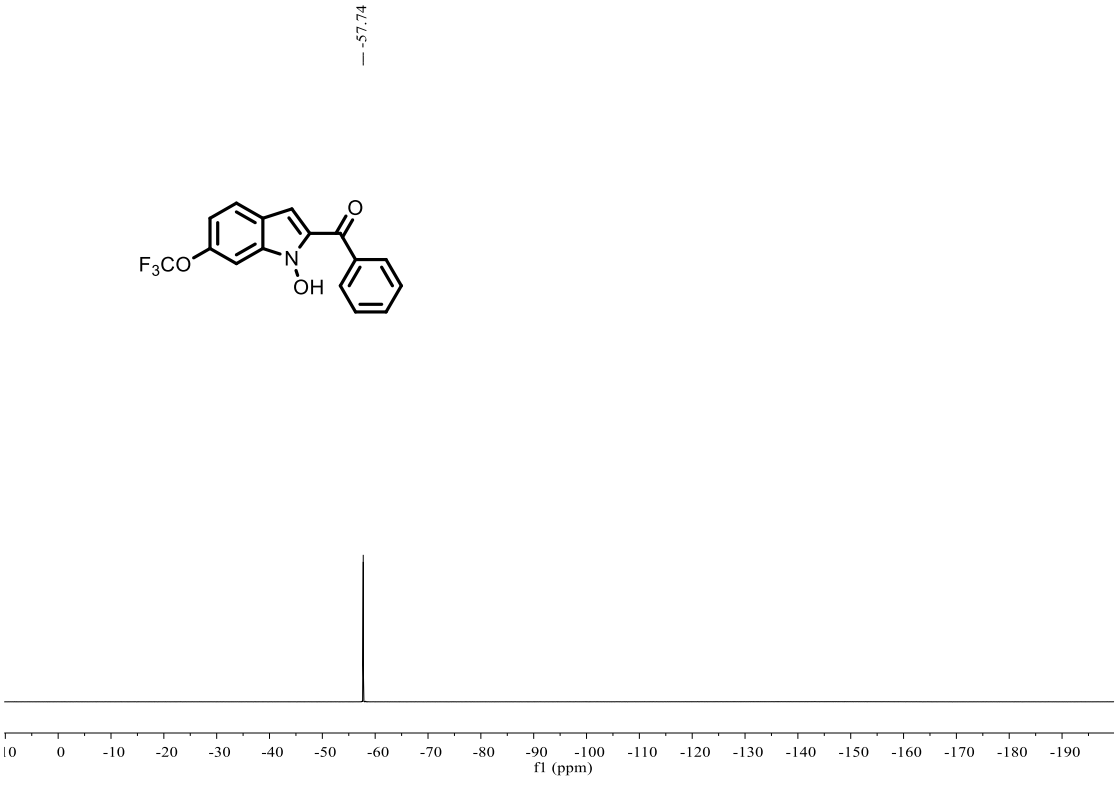
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-6-(trifluoromethoxy)-1*H*-indol-2-yl)(phenyl)methanone **(6c)**



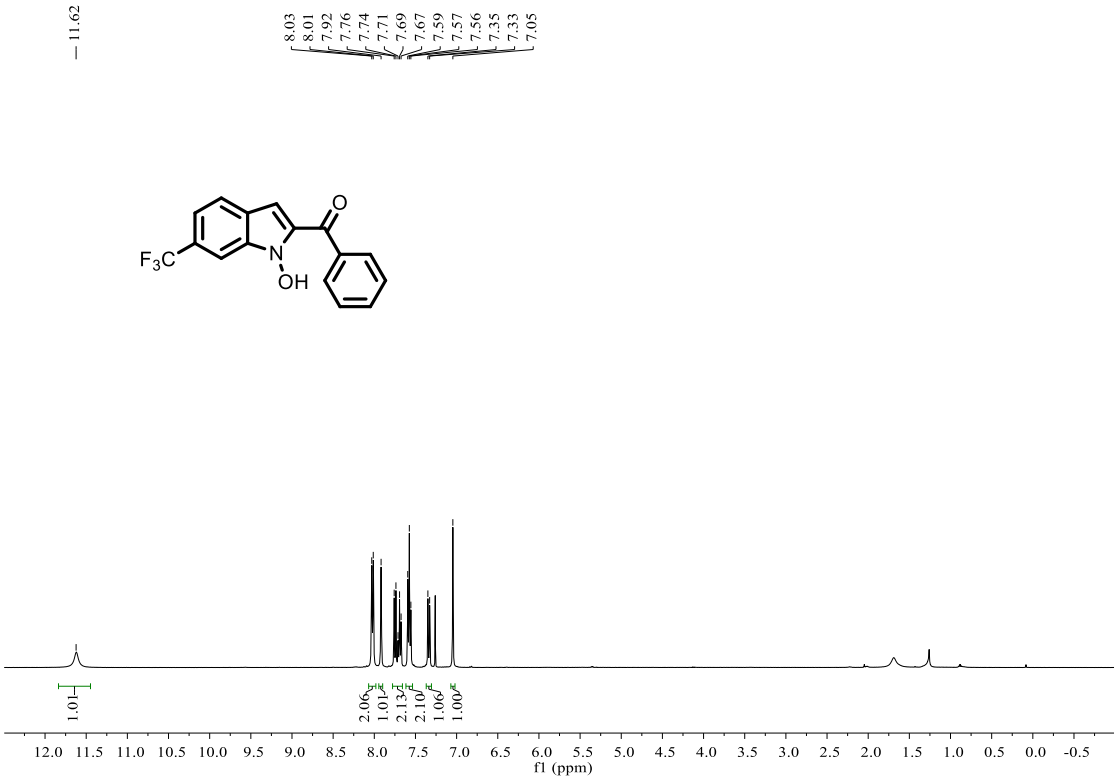
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-6-(trifluoromethoxy)-1*H*-indol-2-yl)(phenyl)methanone **(6c)**



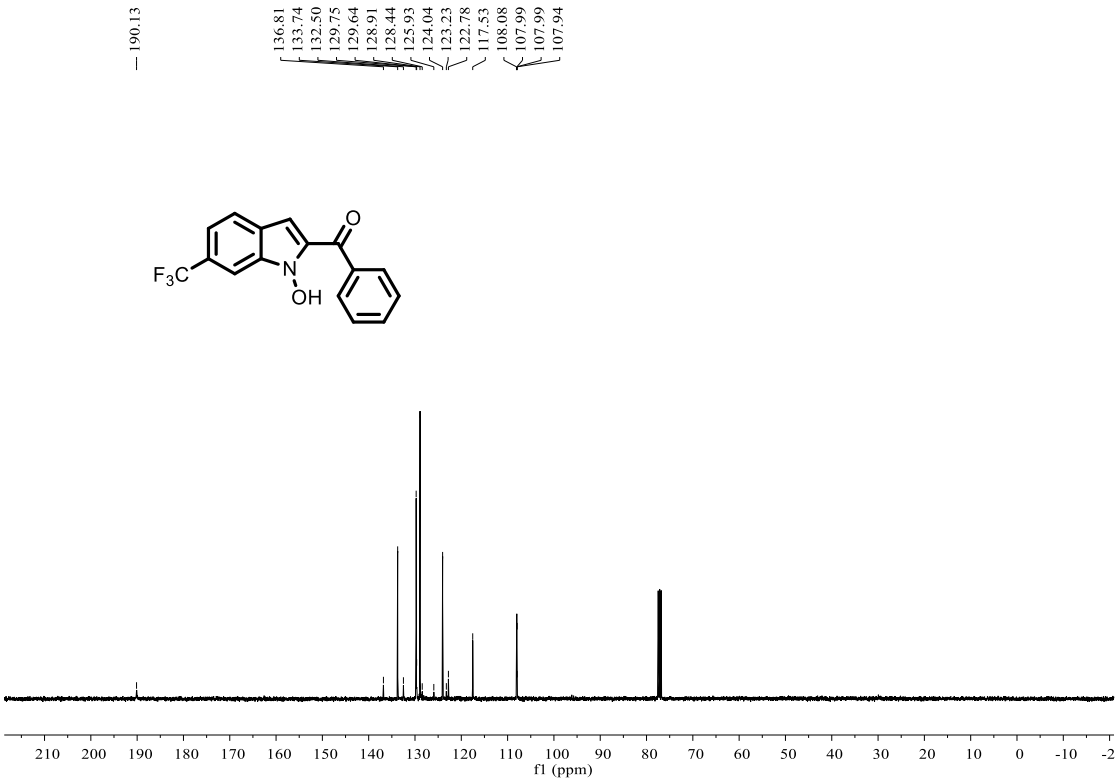
^{19}F NMR (376 MHz, $CDCl_3$) of (1-hydroxy-6-(trifluoromethoxy)-1*H*-indol-2-yl)(phenyl)methanone **(6c)**



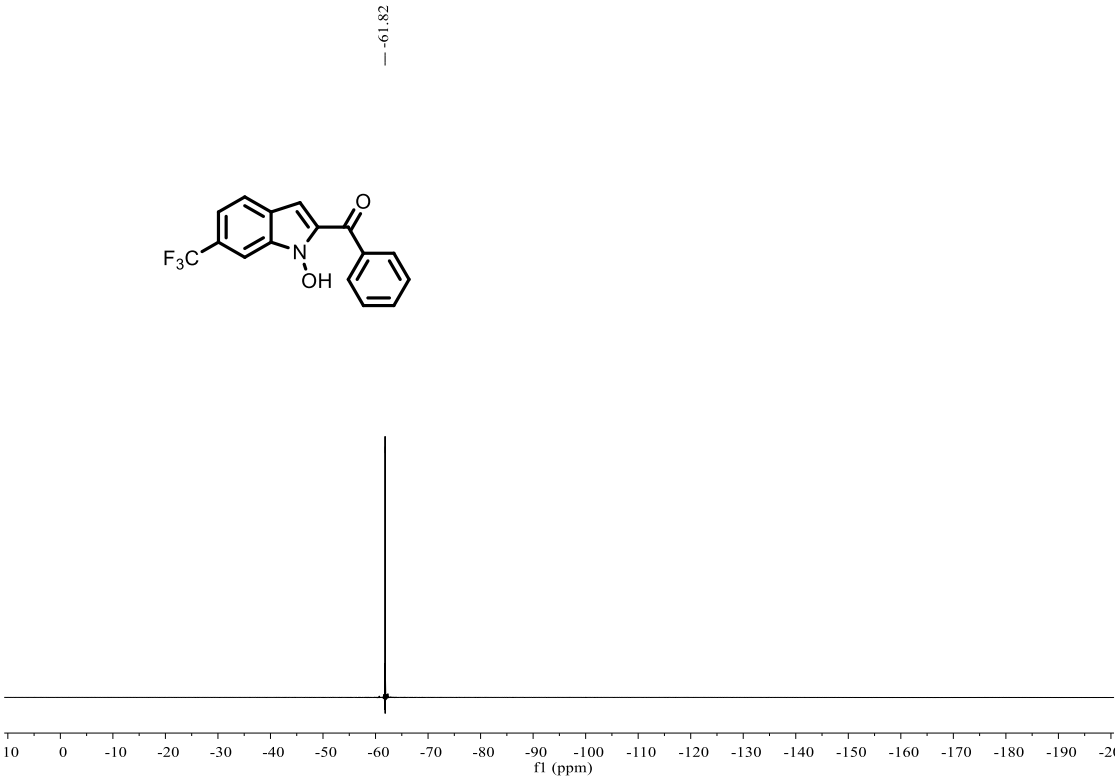
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-6-(trifluoromethyl)-1*H*-indol-2-yl)(phenyl)methanone **(7c)**



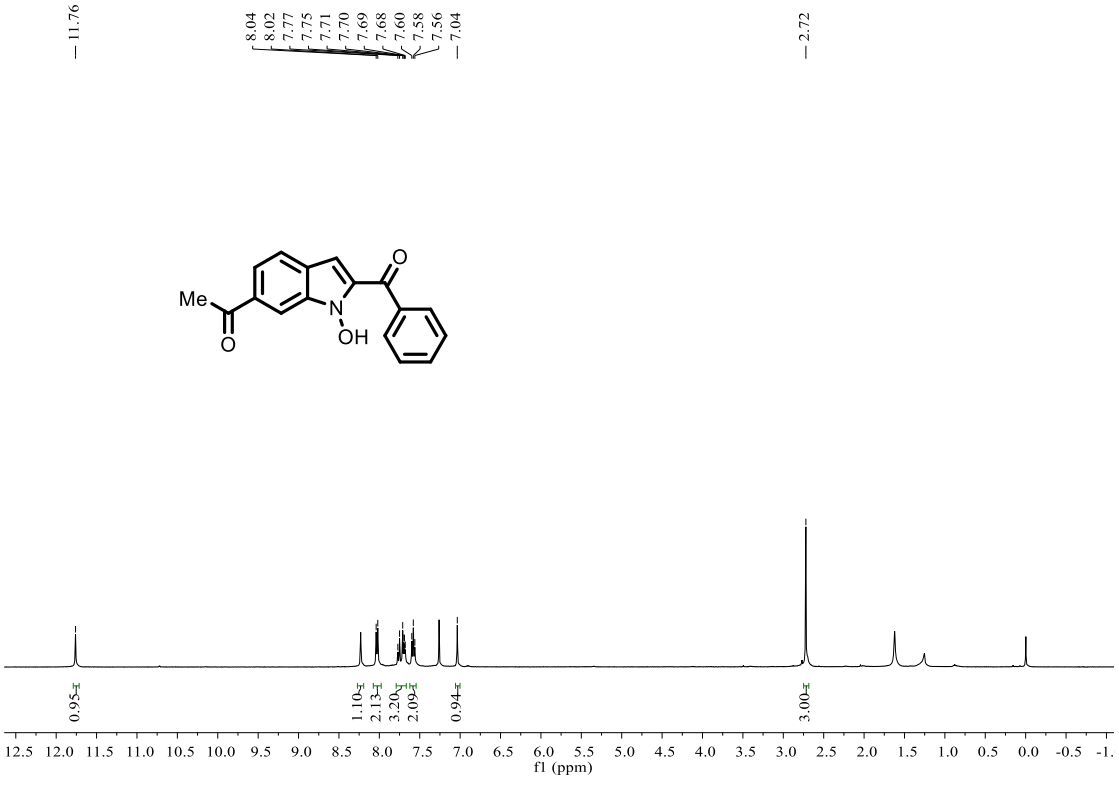
^{13}C NMR (101 MHz, $CDCl_3$) of(1-hydroxy-6-(trifluoromethyl)-1*H*-indol-2-yl)(phenyl)methanone **(7c)**



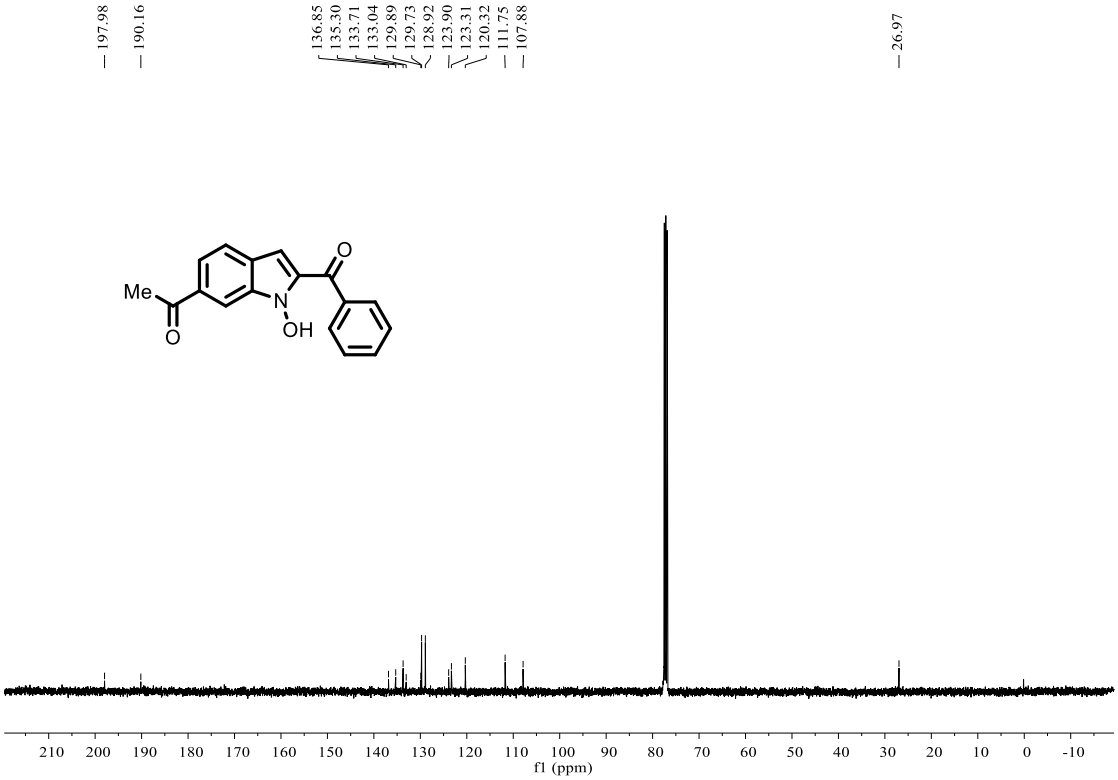
^{19}F NMR (376 MHz, $CDCl_3$) of (1-hydroxy-6-(trifluoromethyl)-1*H*-indol-2-yl)(phenyl)methanone **(7c)**



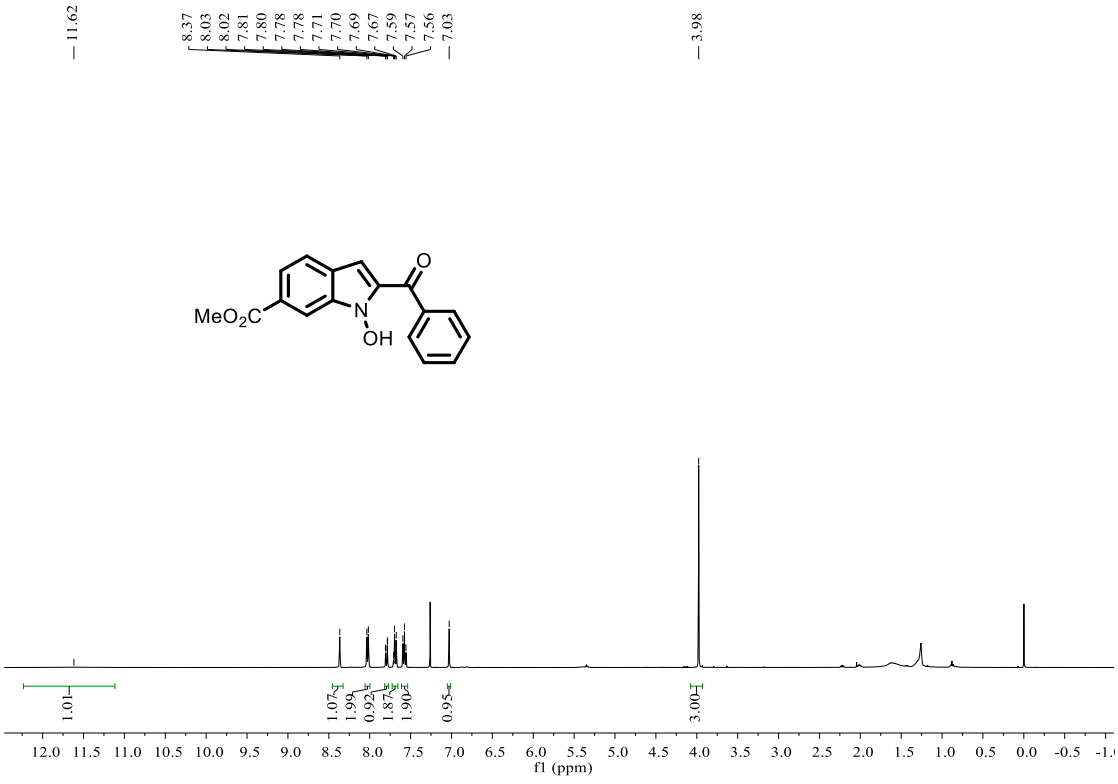
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-benzoyl-1-hydroxy-1*H*-indol-6-yl)ethan-1-one **(8c)**



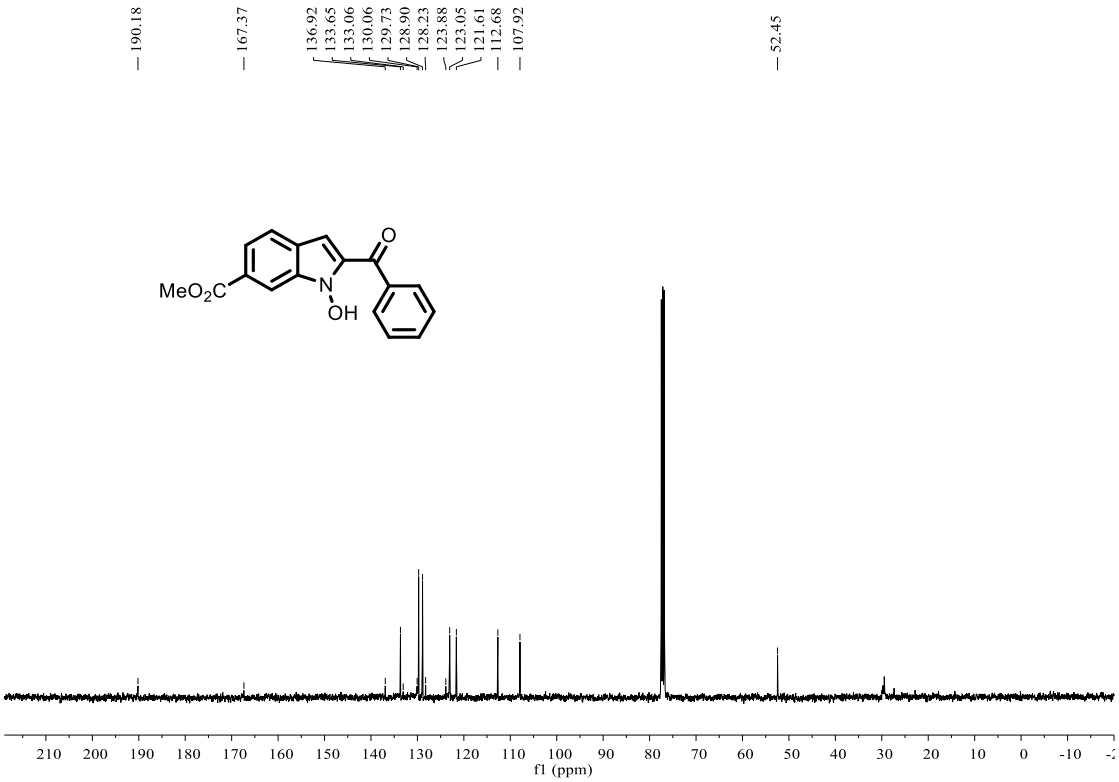
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-benzoyl-1-hydroxy-1*H*-indol-6-yl)ethan-1-one **(8c)**



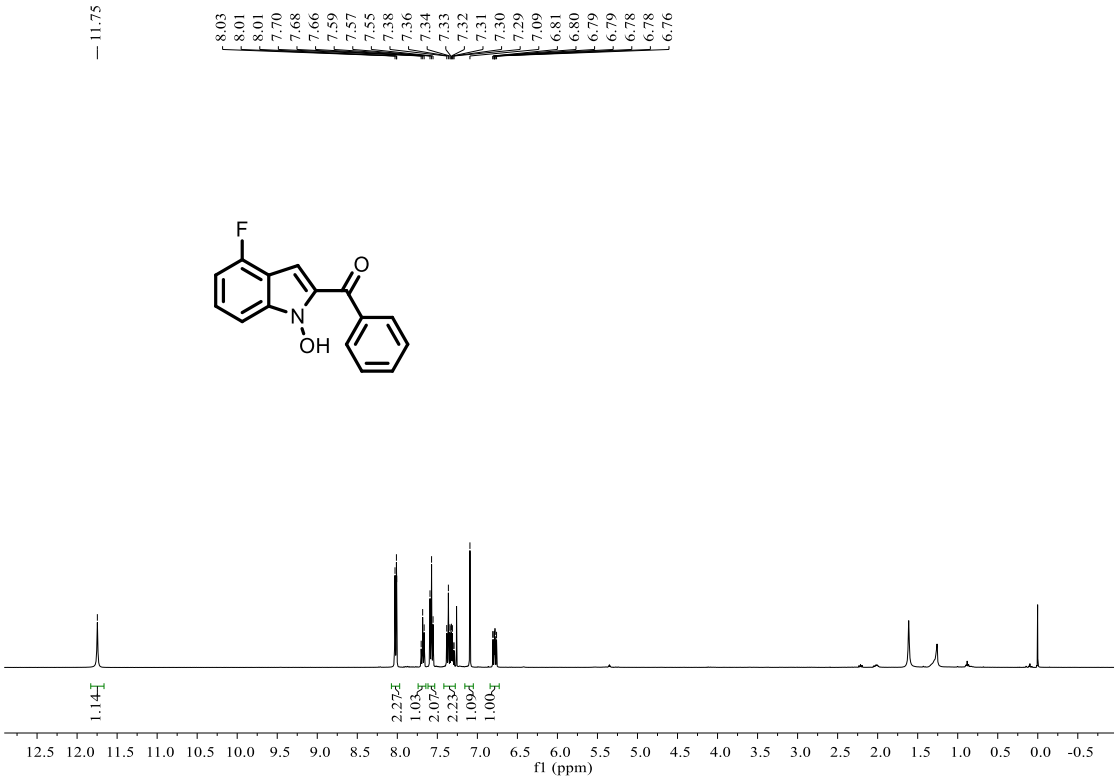
^{1}H NMR (400 MHz, $CDCl_3$) of methyl 2-benzoyl-1-hydroxy-1*H*-indole-6-carboxylate **(9c)**



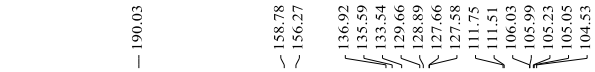
^{13}C NMR (101 MHz, $CDCl_3$) of methyl 2-benzoyl-1-hydroxy-1*H*-indole-6-carboxylate **(9c)**

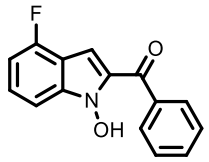


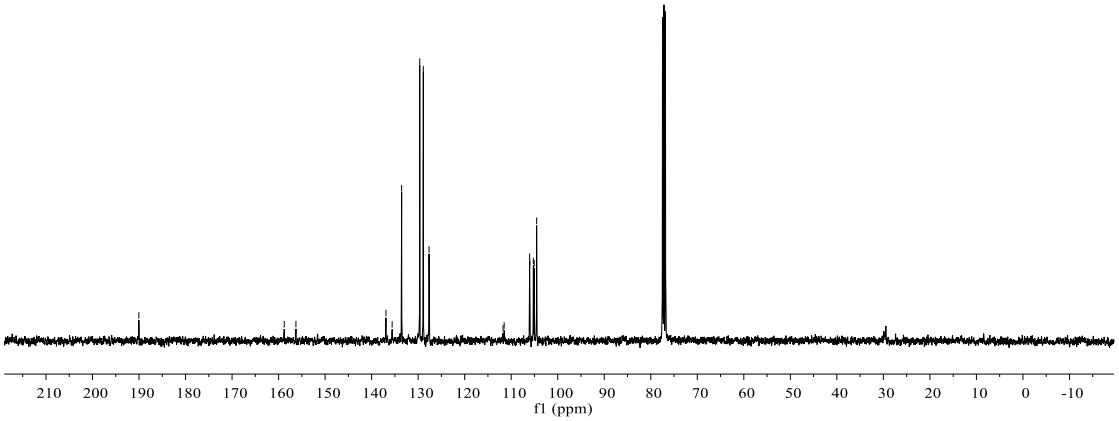
^{1}H NMR (400 MHz, $CDCl_3$) of (4-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(10c)**



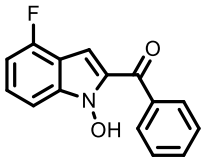
^{13}C NMR (101 MHz, $CDCl_3$) of (4-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(10c)**

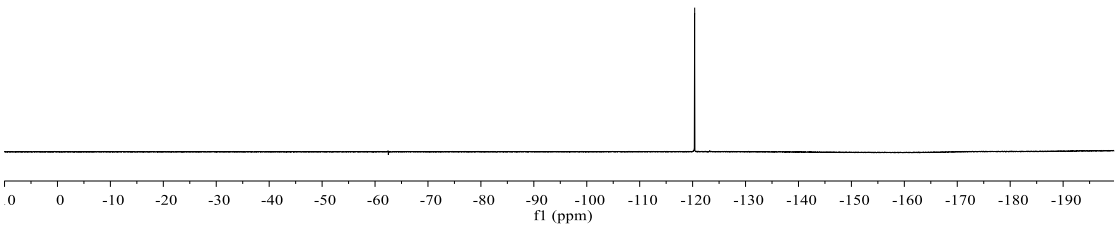




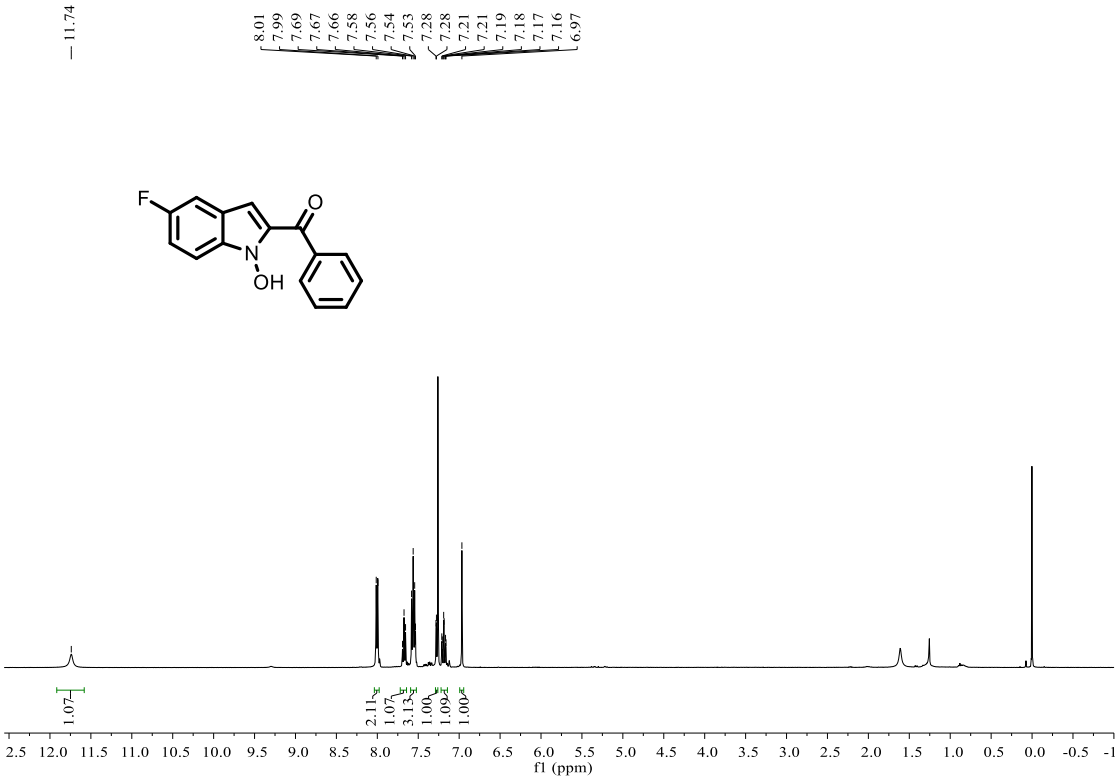


^{19}F NMR (376 MHz, $CDCl_3$) of (4-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(10c)**

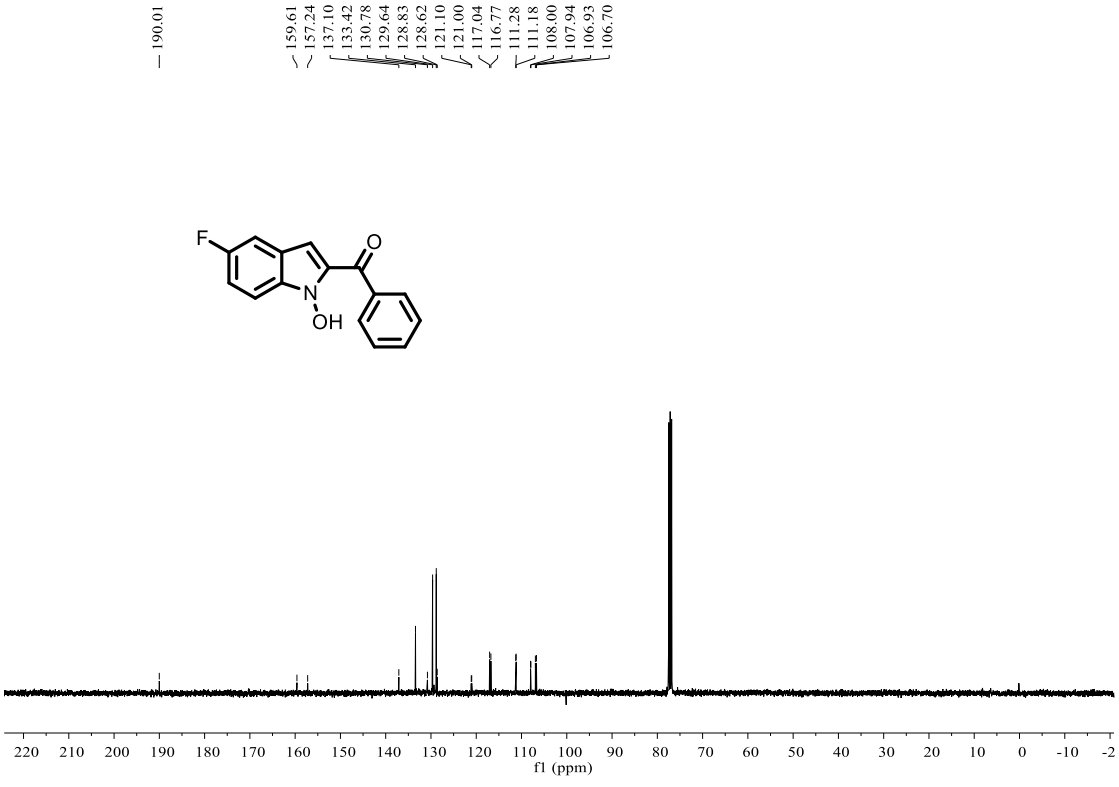




^{1}H NMR (400 MHz, $CDCl_3$) of (5-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(11c)**

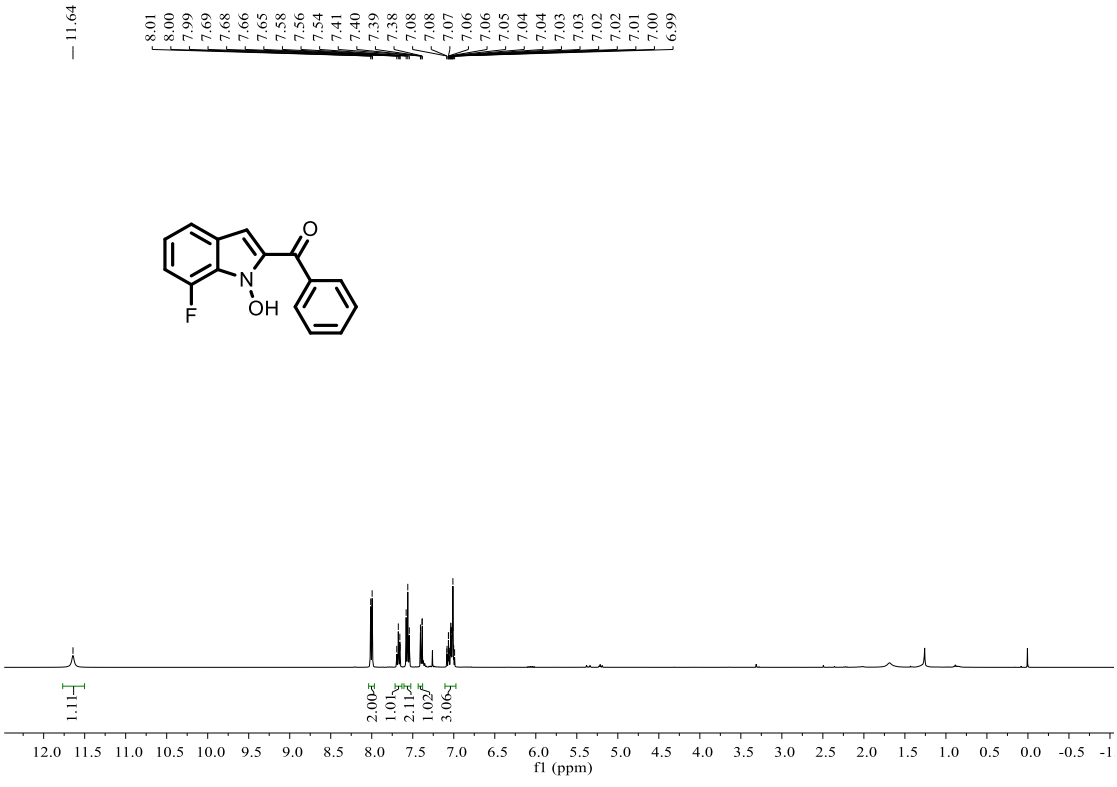


^{13}C NMR (101 MHz, $CDCl_3$) of (5-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(11c)**

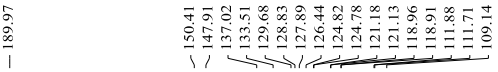


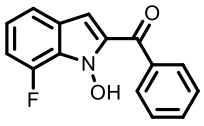
^{19}F NMR (376 MHz, $CDCl_3$) of (5-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(11c)**

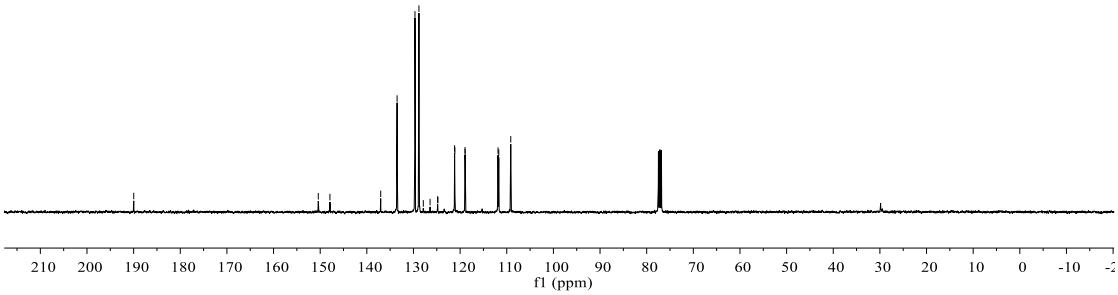
^{1}H NMR (400 MHz, $CDCl_3$) of (7-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(12c)**



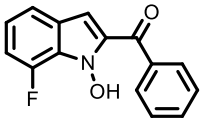
^{13}C NMR (101 MHz, $CDCl_3$) of (7-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(12c)**

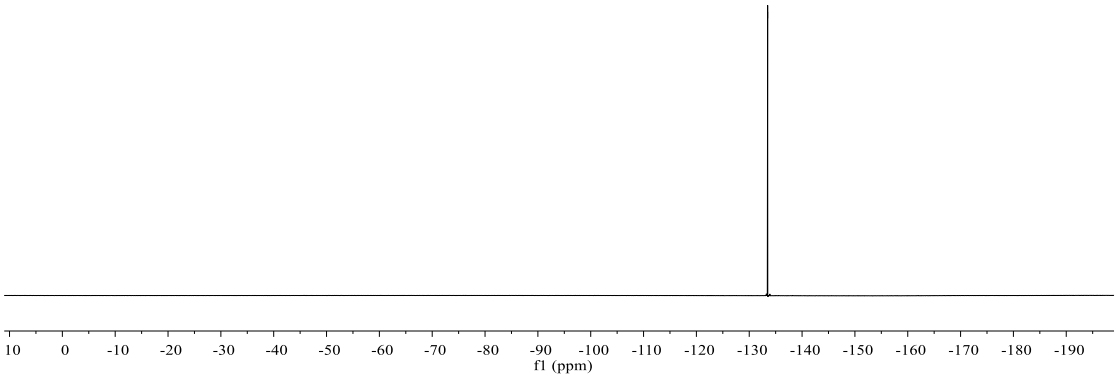




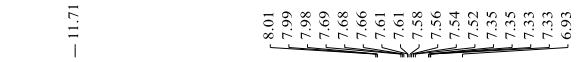


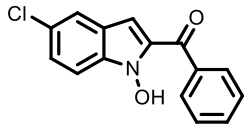
^{19}F NMR (376 MHz, $CDCl_3$) of (7-fluoro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(12c)**

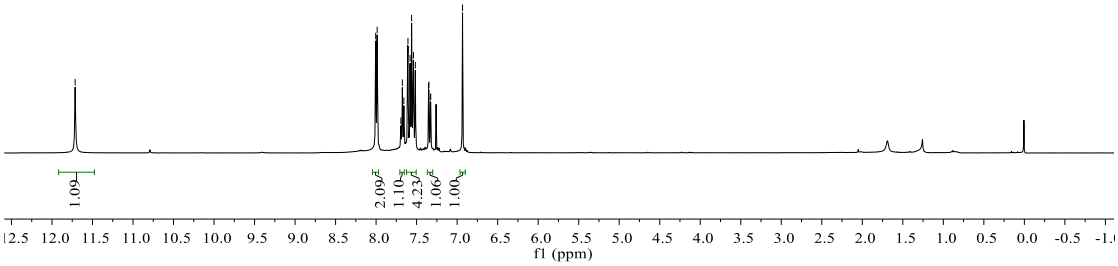




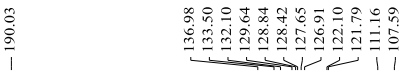
^{1}H NMR (400 MHz, $CDCl_3$) of (5-chloro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(13c)**

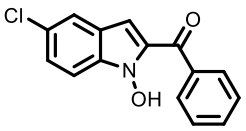


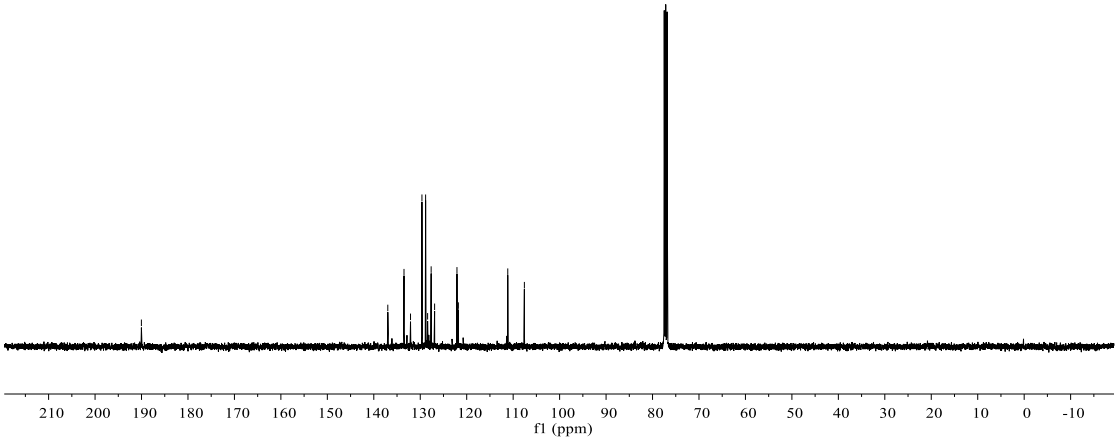




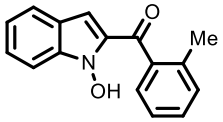
^{13}C NMR (101 MHz, $CDCl_3$) of (5-chloro-1-hydroxy-1*H*-indol-2-yl)(phenyl)methanone **(13c)**

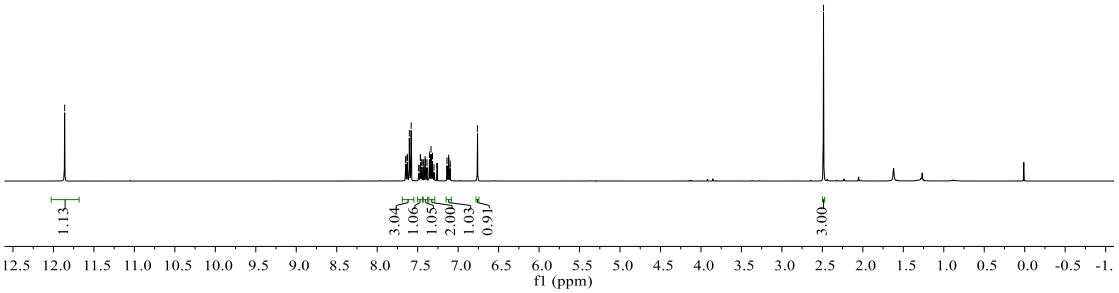




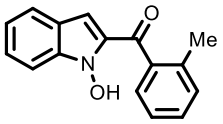


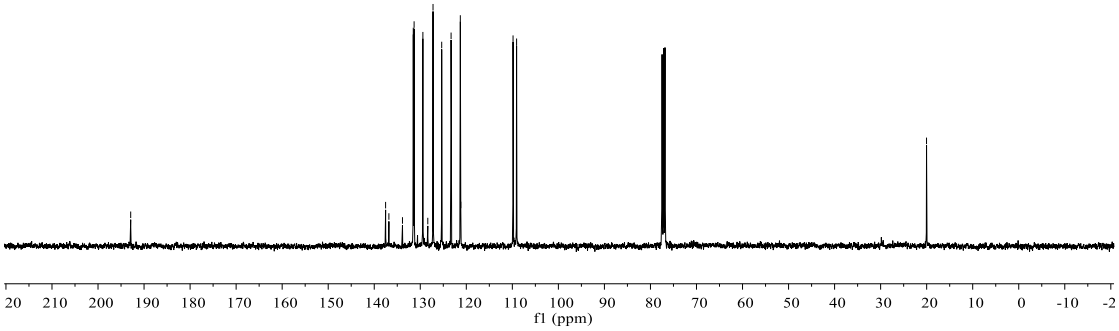
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(*o*-tolyl)methanone **(13c)**



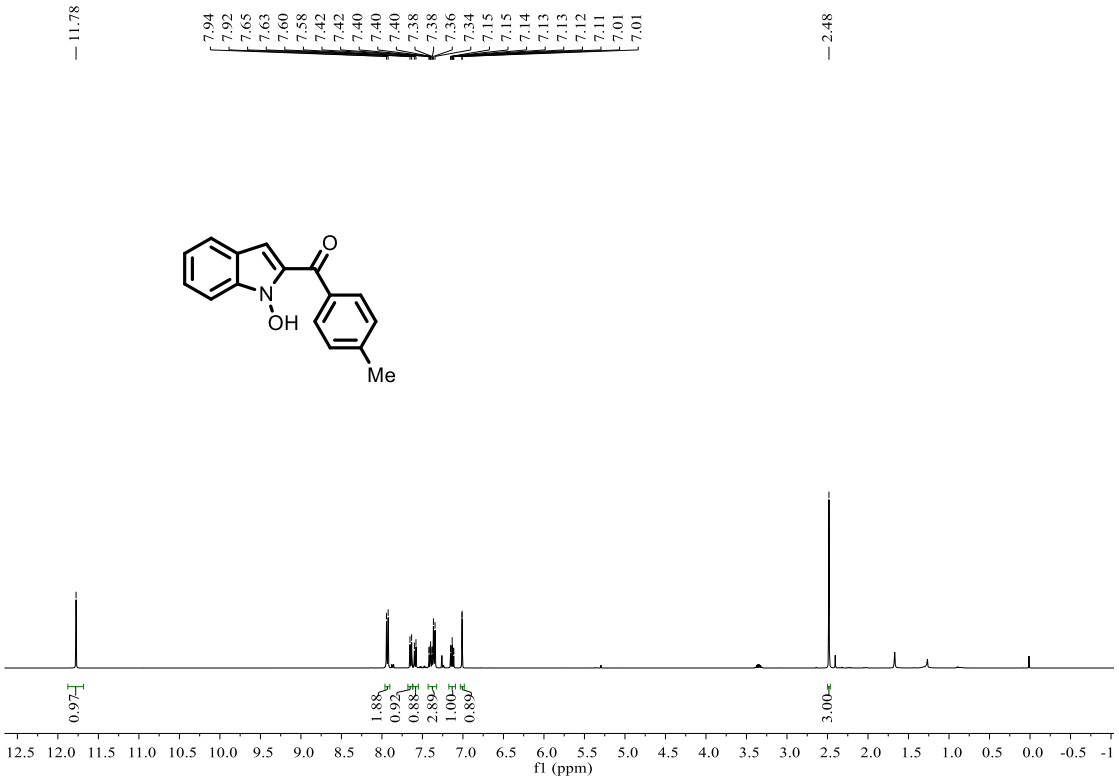


^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(*o*-tolyl)methanone **(14c)**

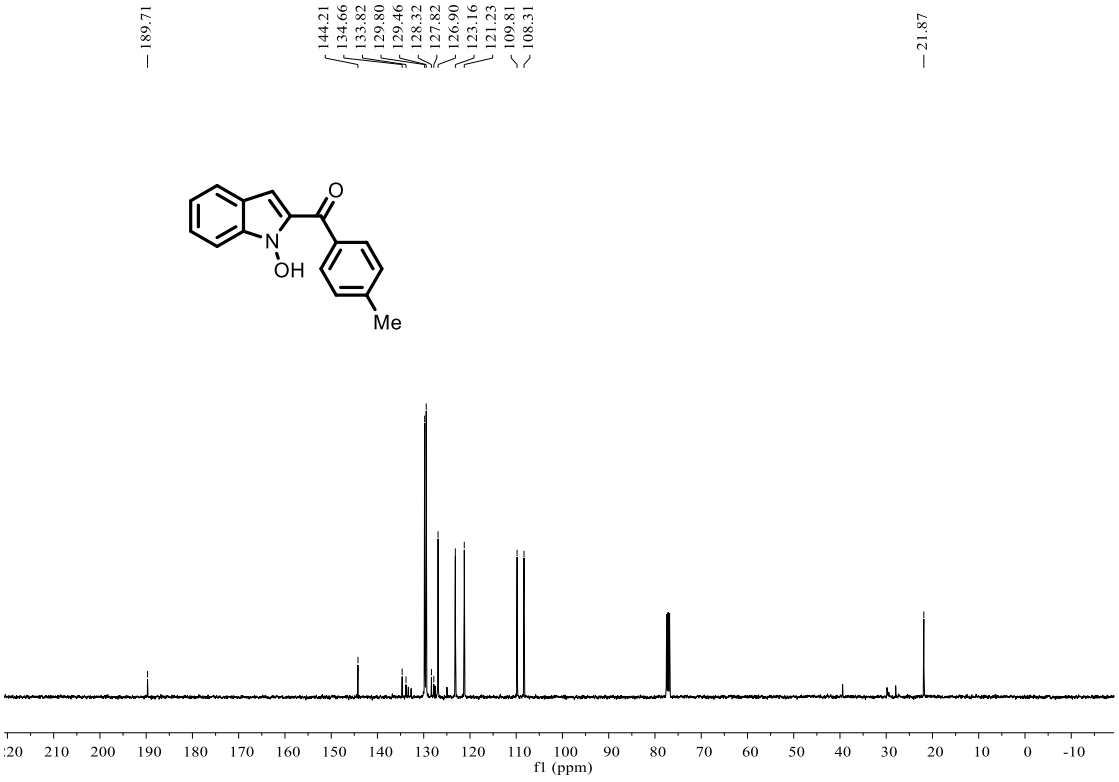




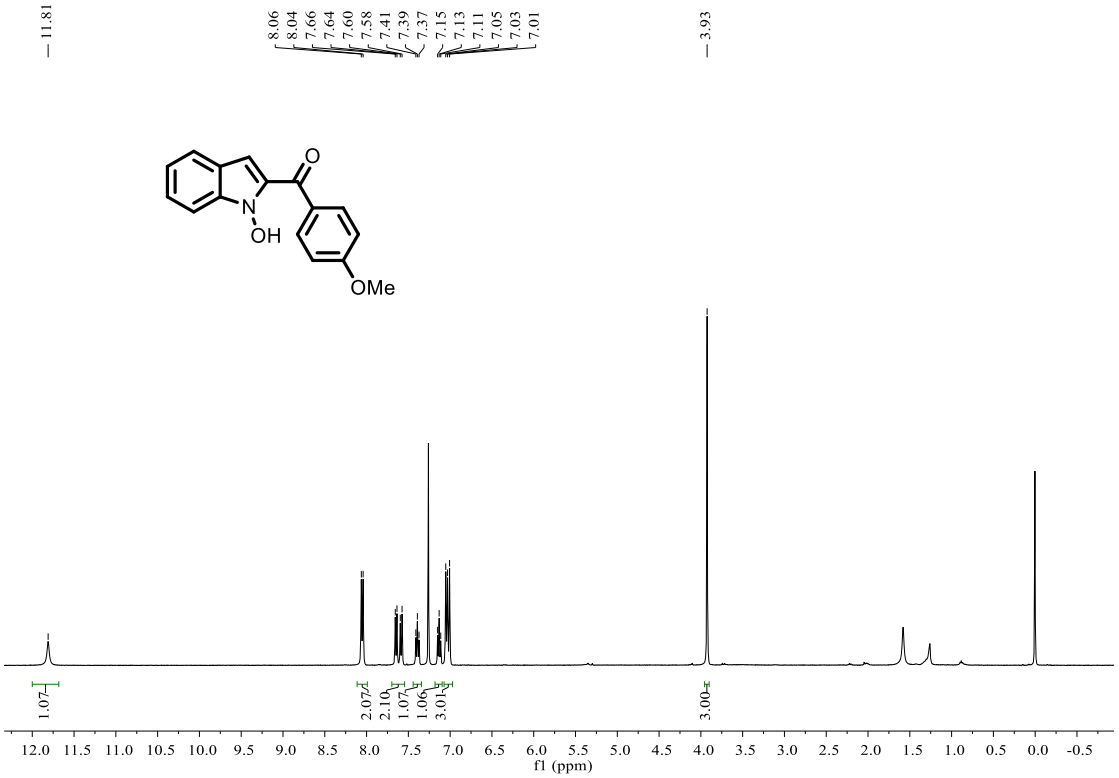
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(p-tolyl)methanone **(15c)**



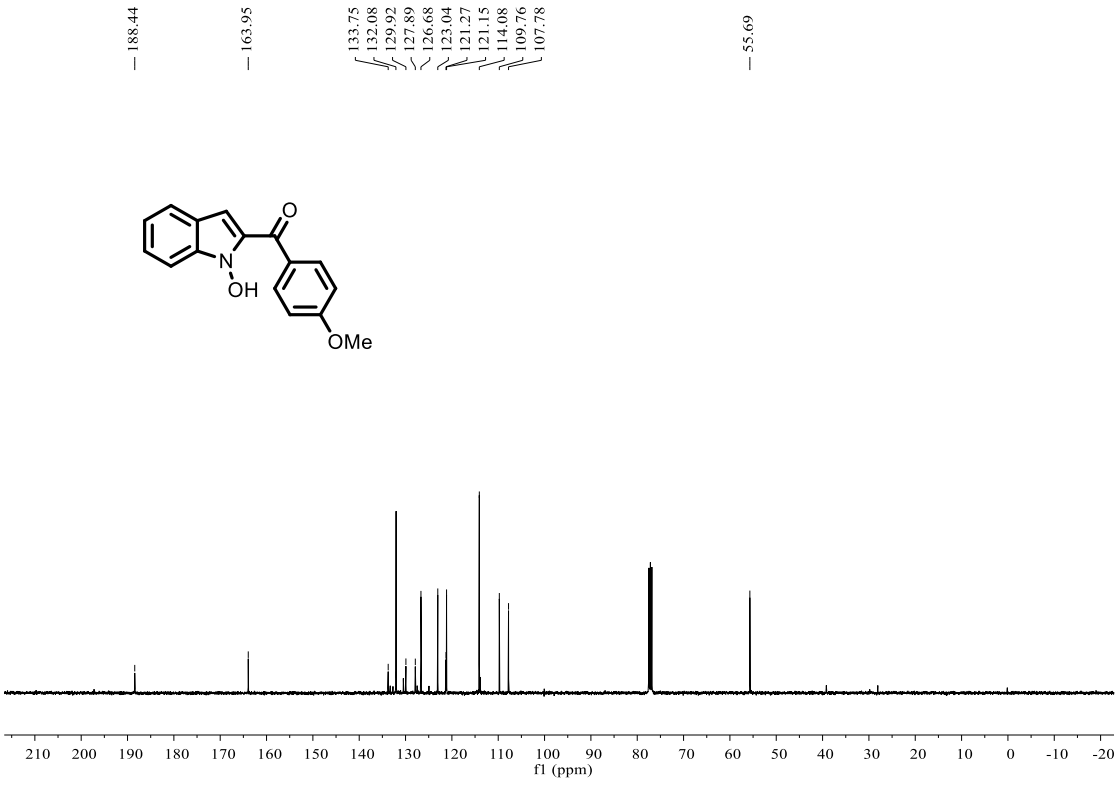
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(p-tolyl)methanone **(15c)**



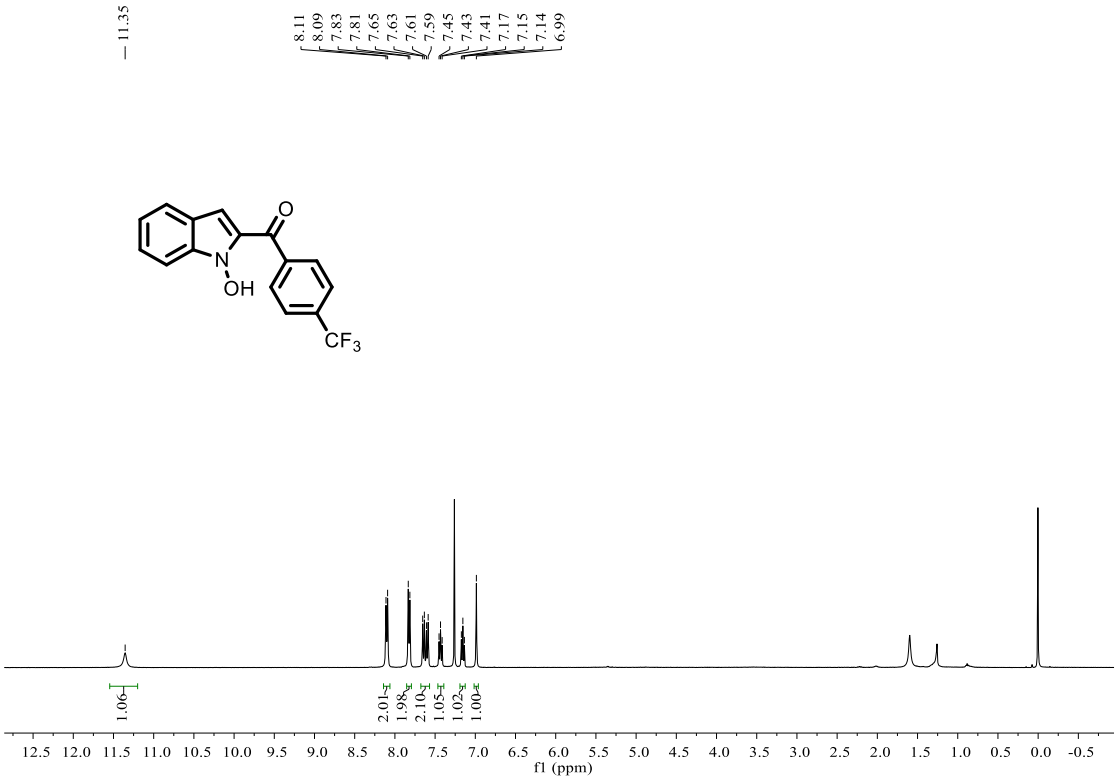
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(4-methoxyphenyl)methanone **(16c)**



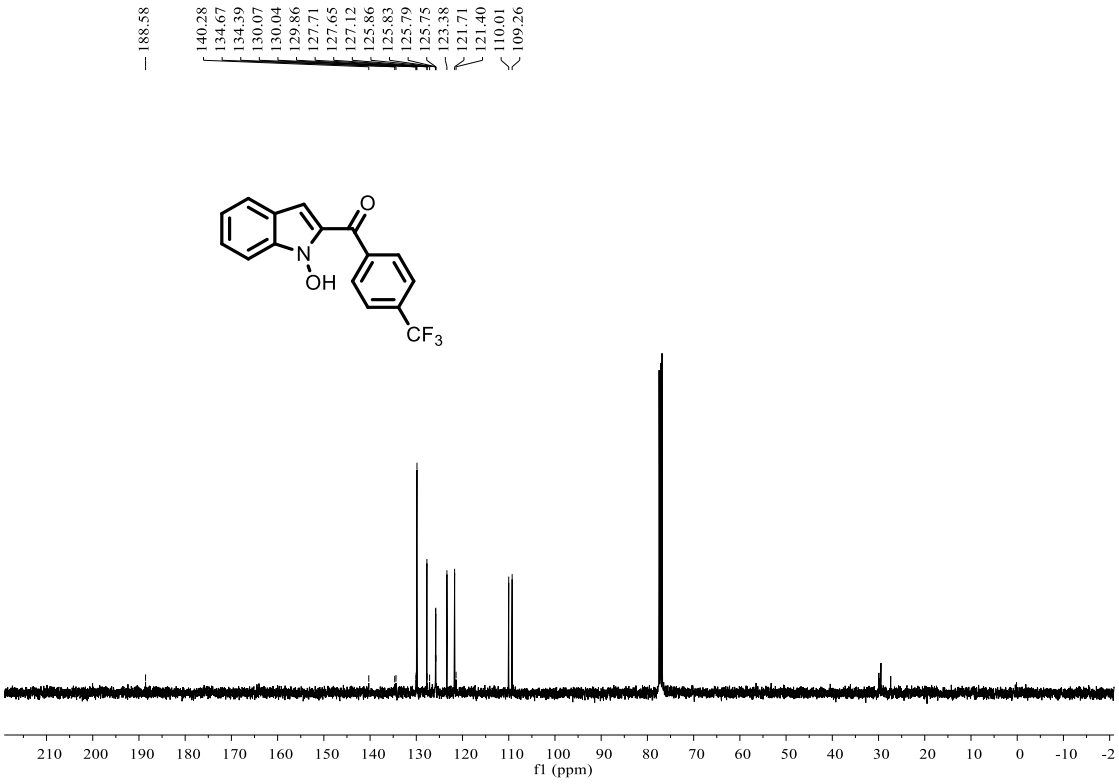
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(4-methoxyphenyl)methanone **(16c)**



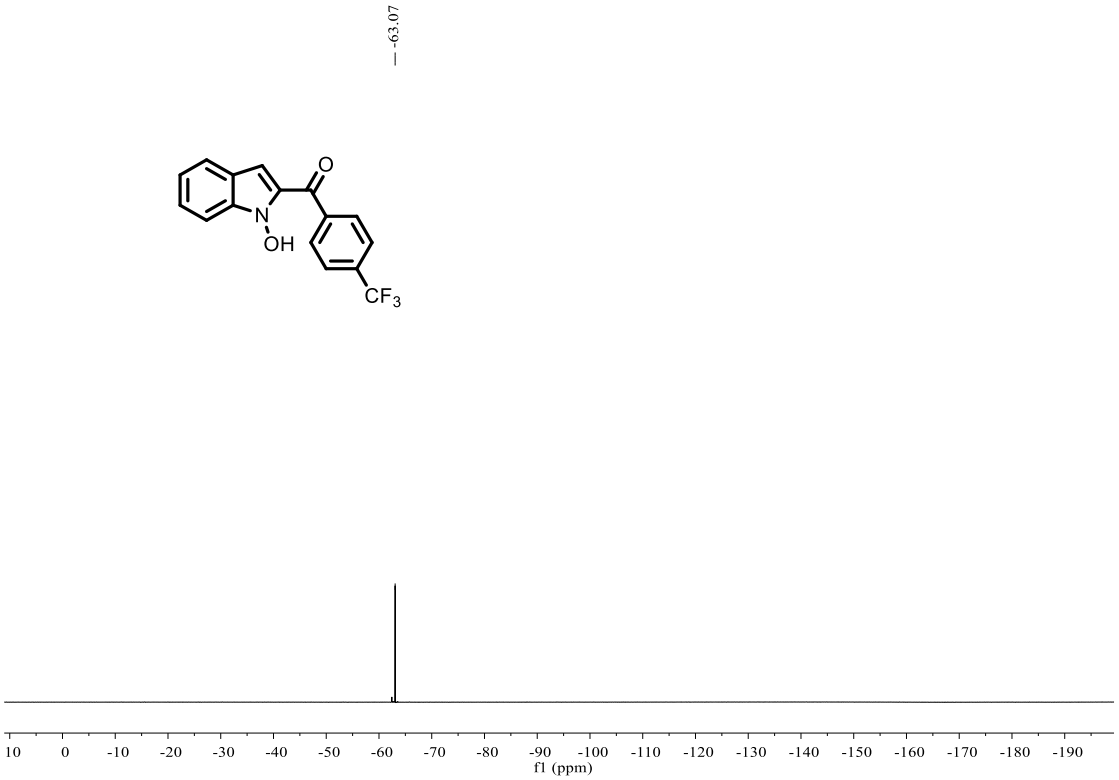
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(4-(trifluoromethyl)phenyl)methanone **(17c)**



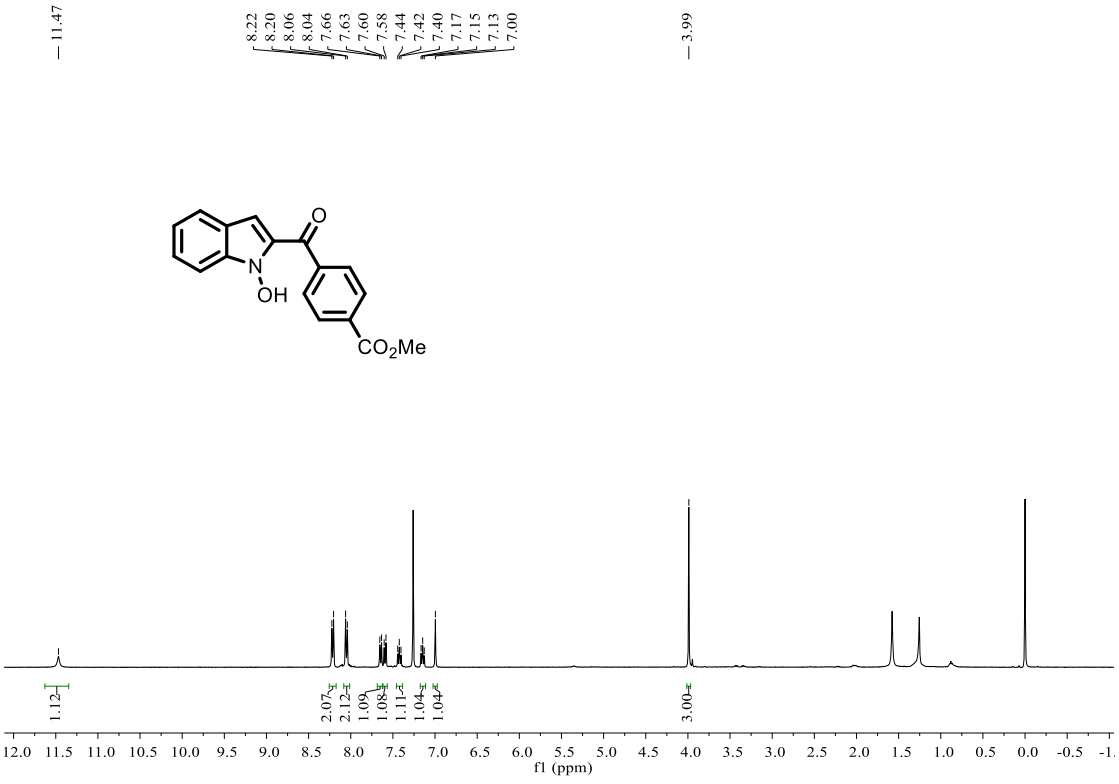
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(4-(trifluoromethyl)phenyl)methanone **(17c)**



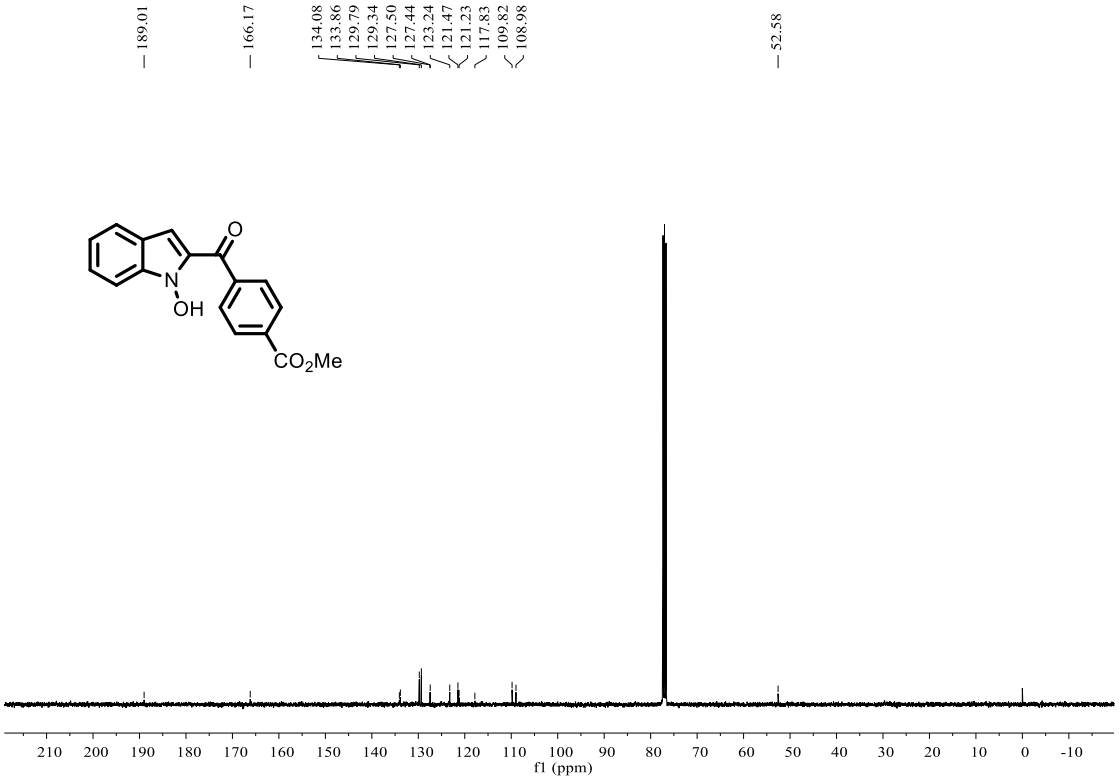
^{19}F NMR (376 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(4-(trifluoromethyl)phenyl)methanone **(17c)**



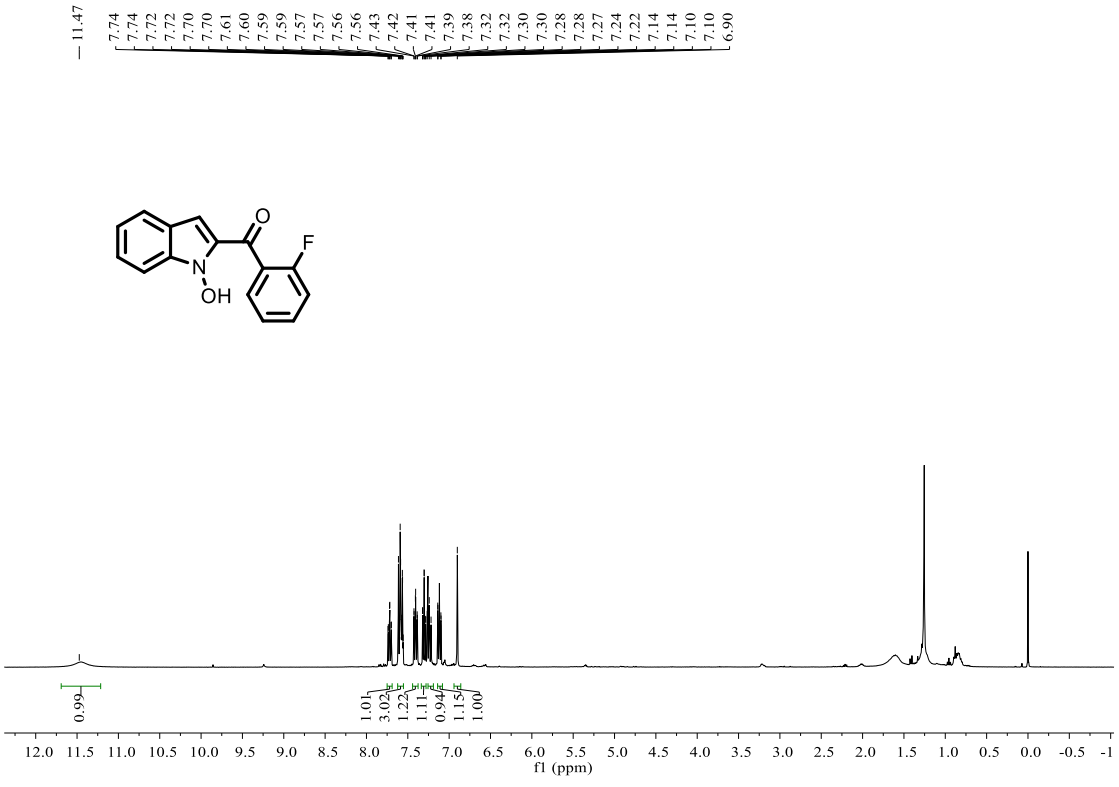
^{1}H NMR (400 MHz, $CDCl_3$) of methyl 4-(1-hydroxy-1*H*-indole-2-carbonyl)benzoate **(18c)**



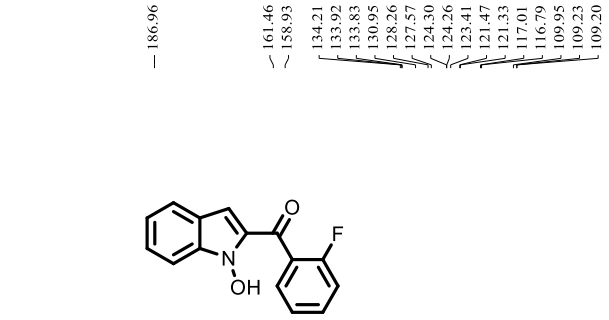
^{13}C NMR (101 MHz, $CDCl_3$) of methyl 4-(1-hydroxy-1*H*-indole-2-carbonyl)benzoate **(18c)**

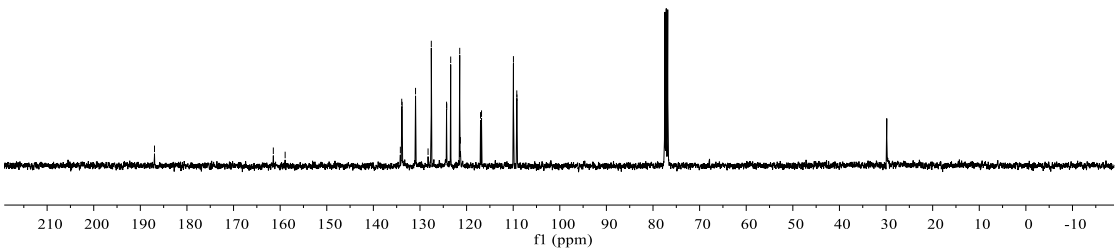


^{1}H NMR (400 MHz, $CDCl_3$) of (2-fluorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone **(19c)**

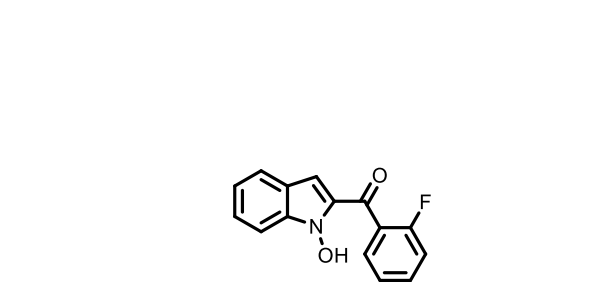


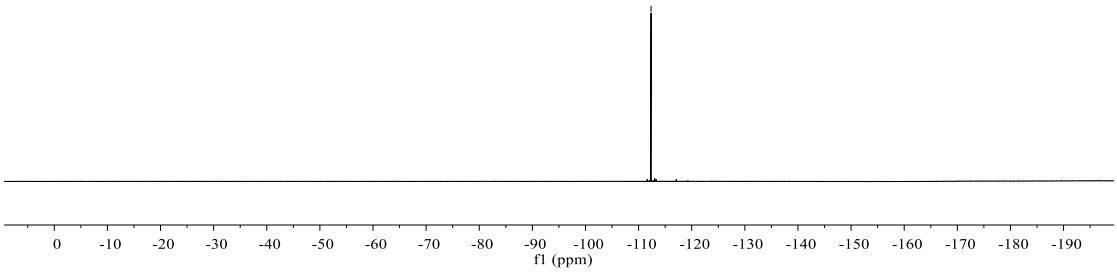
^{13}C NMR (101 MHz, $CDCl_3$) of (2-fluorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone **(19c)**



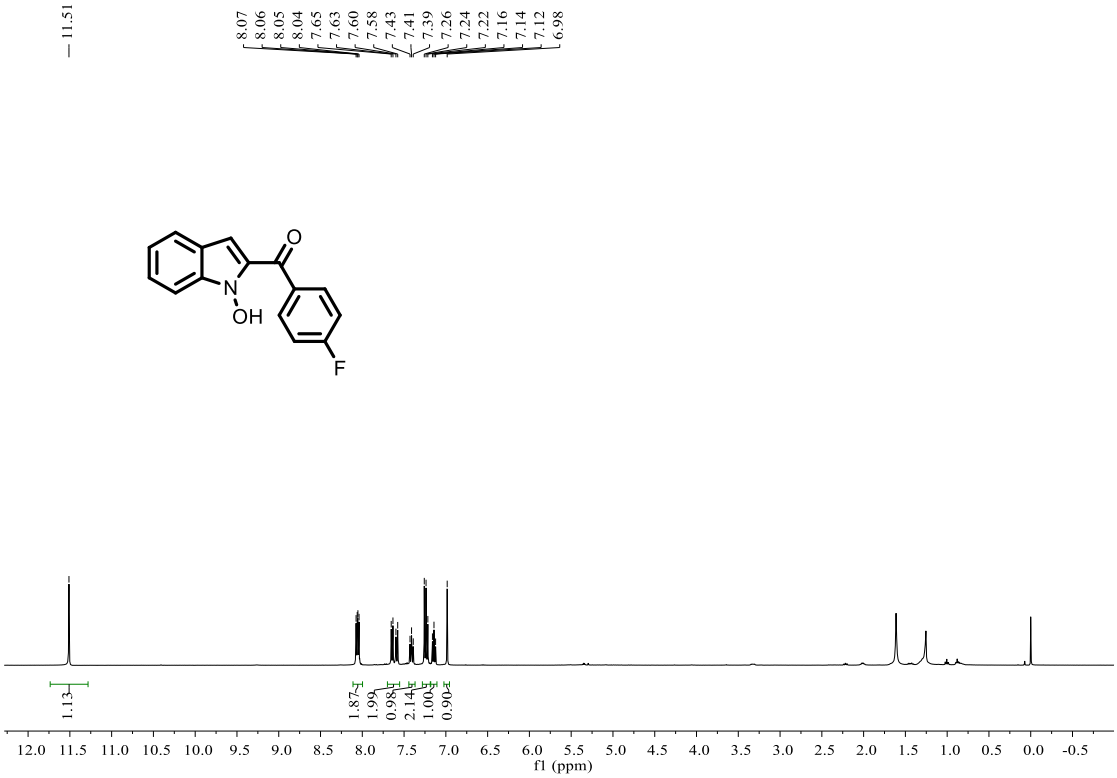


^{19}F NMR (376 MHz, $CDCl_3$) of (2-fluorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone **(19c)**

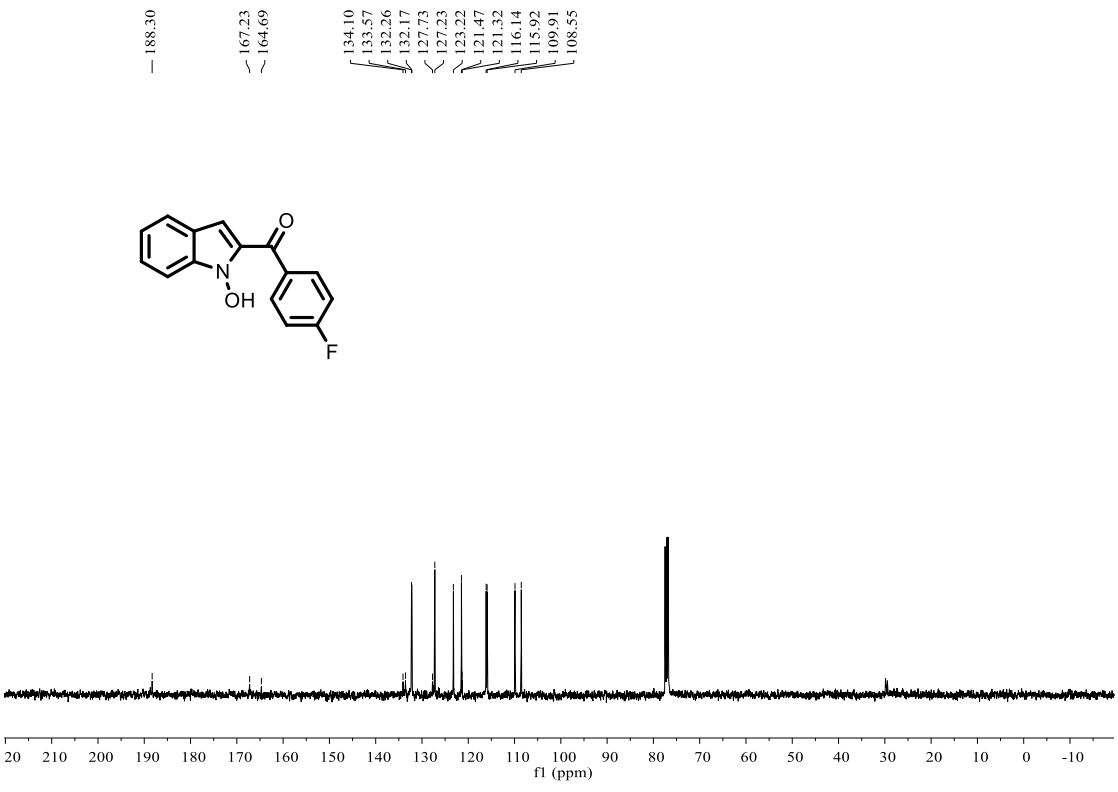




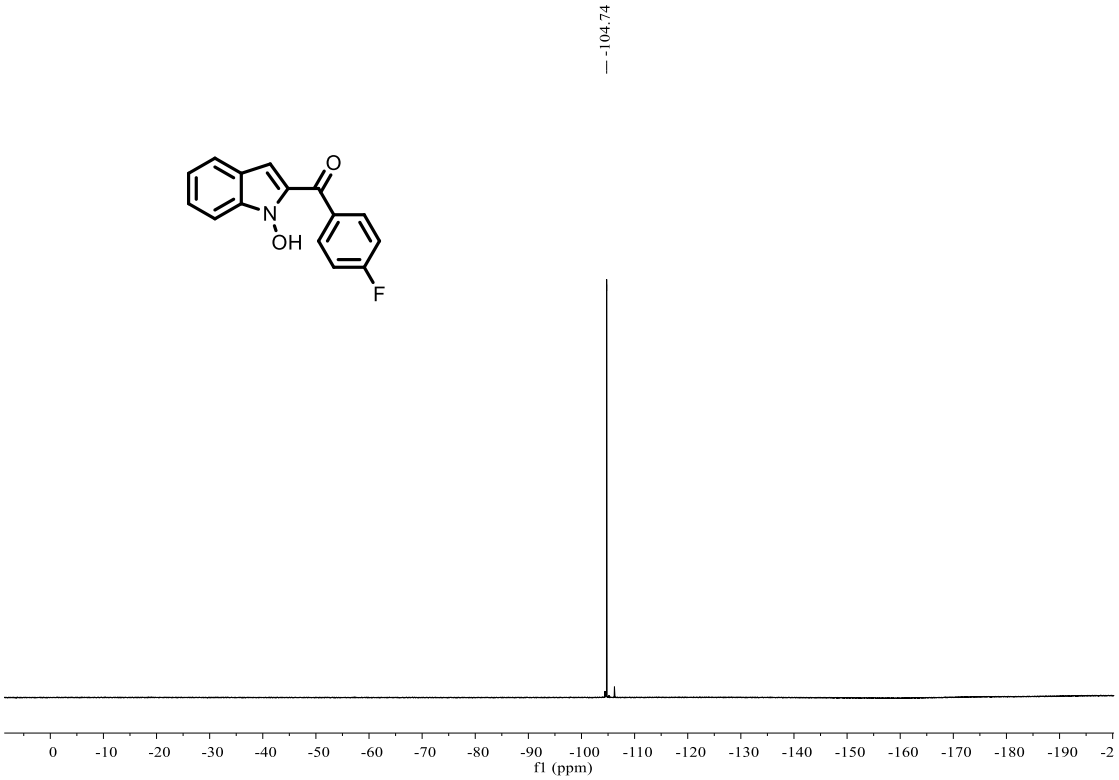
^{1}H NMR (400 MHz, $CDCl_3$) of (4-fluorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone **(20c)**



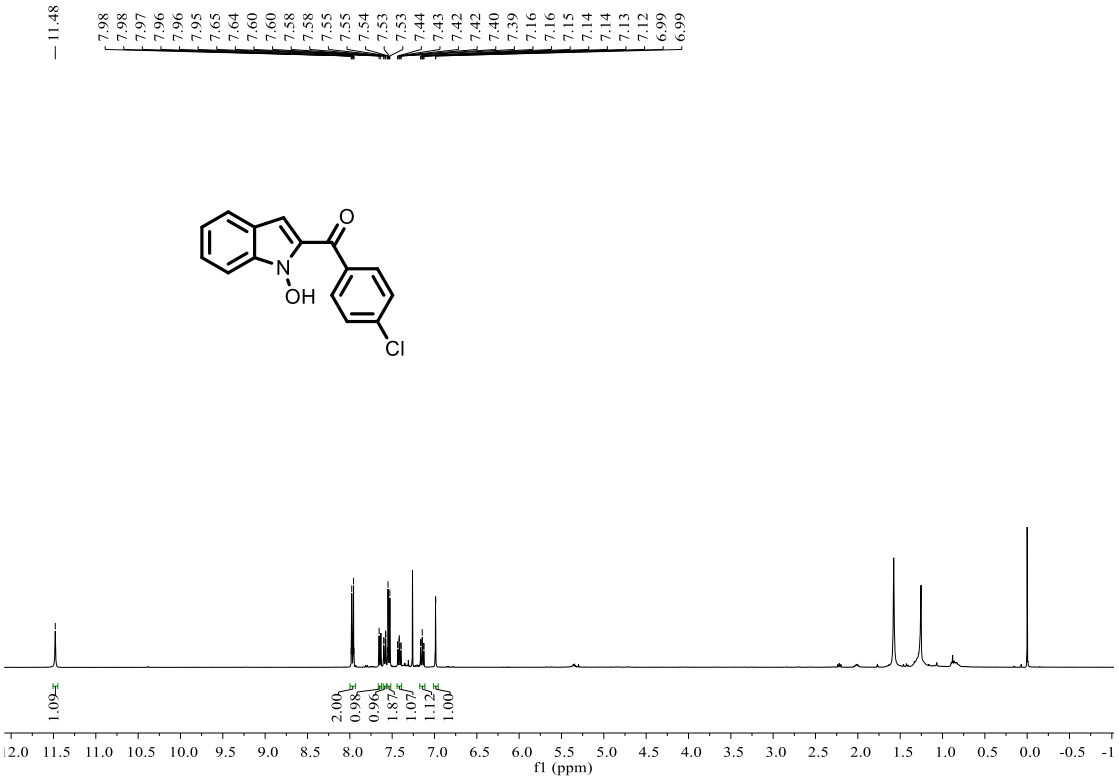
^{13}C NMR (101 MHz, $CDCl_3$) of (4-fluorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone **(20c)**



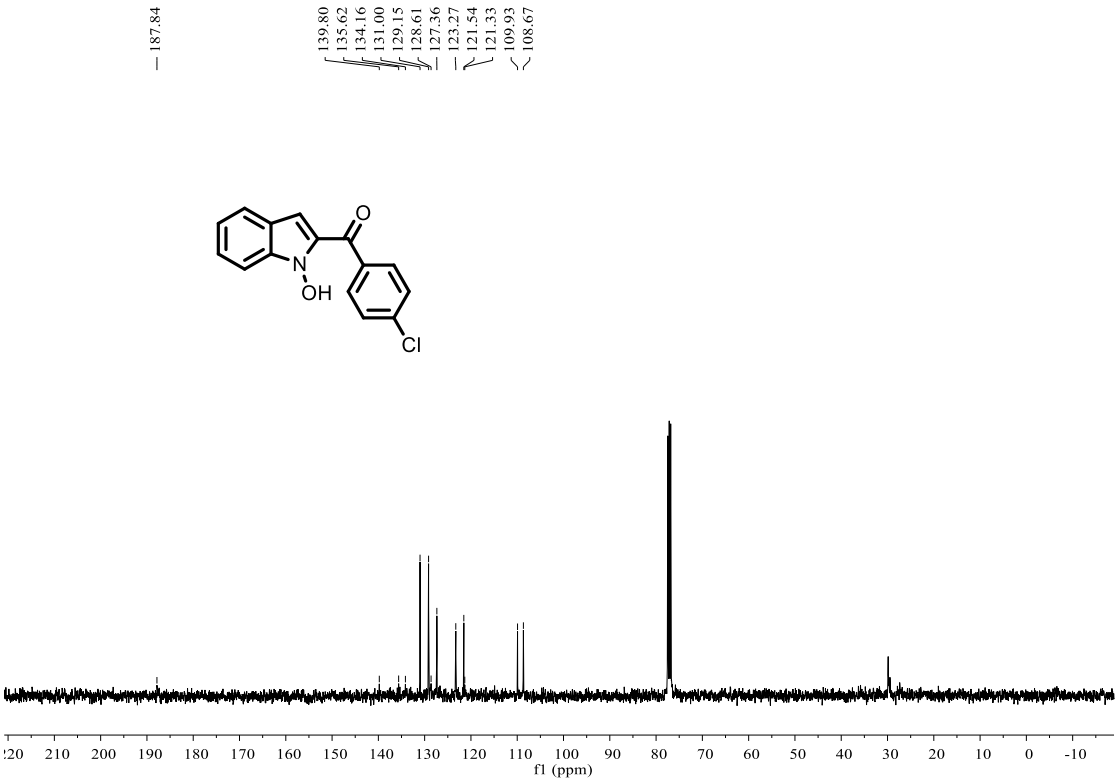
^{19}F NMR (376 MHz, $CDCl_3$) of (4-fluorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone **(20c)**



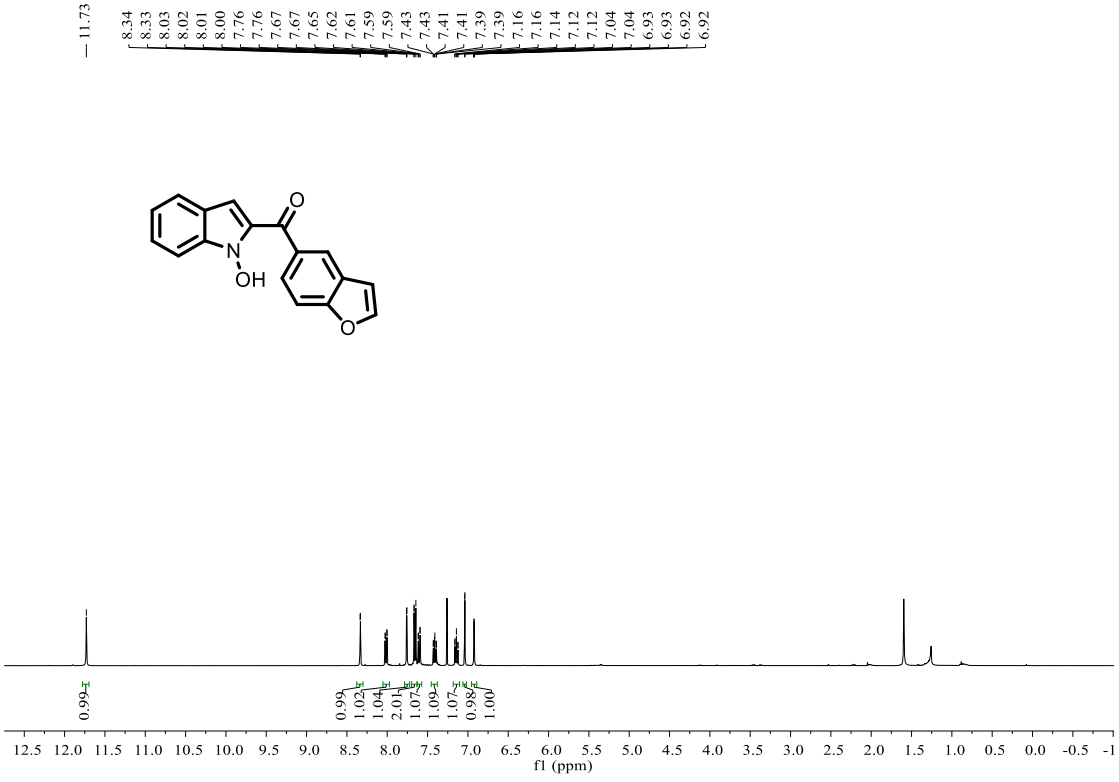
^{1}H NMR (400 MHz, $CDCl_3$) of (4-chlorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone **(21c)**



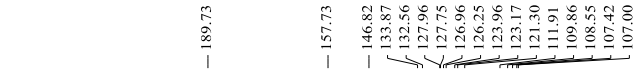
^{13}C NMR (101 MHz, $CDCl_3$) of (4-chlorophenyl)(1-hydroxy-1*H*-indol-2-yl)methanone **(21c)**

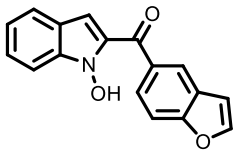


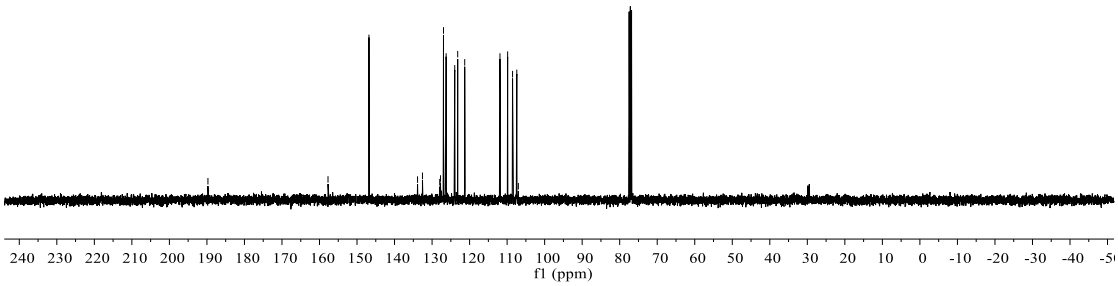
^{1}H NMR (400 MHz, $CDCl_3$) of benzofuran-5-yl(1-hydroxy-1*H*-indol-2-yl)methanone **(22c)**



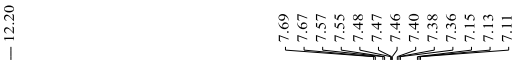
^{13}C NMR (101 MHz, $CDCl_3$) of benzofuran-5-yl(1-hydroxy-1*H*-indol-2-yl)methanone **(22c)**

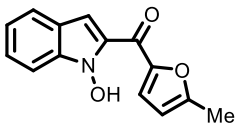


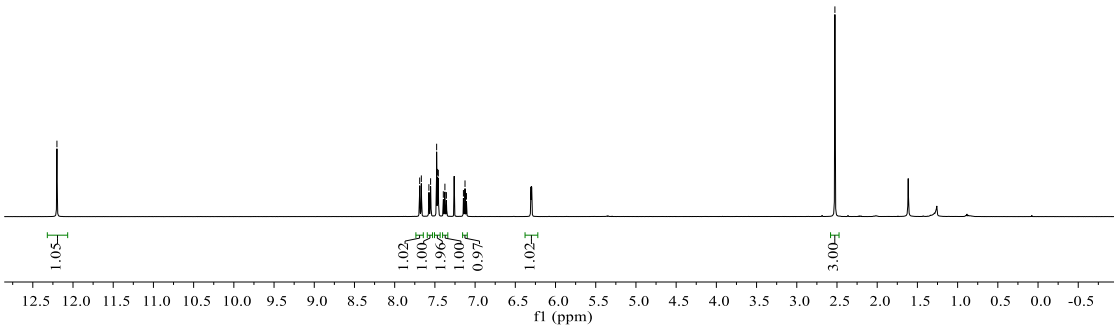




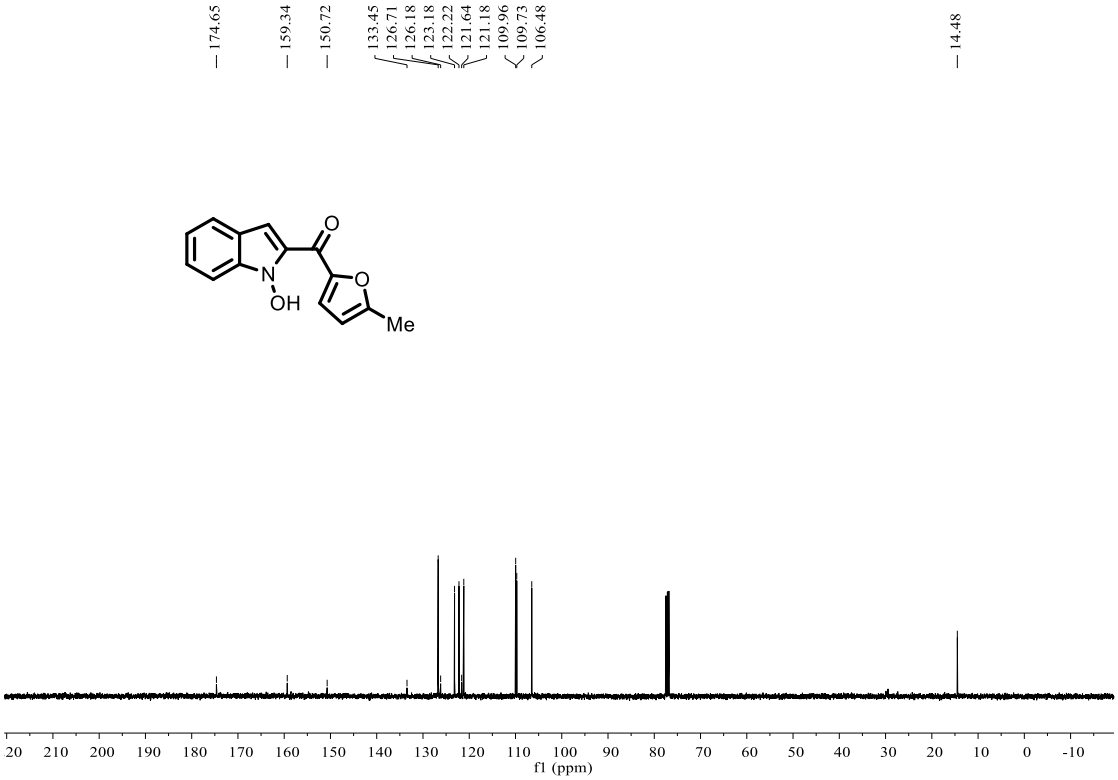
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(5-methylfuran-2-yl)methanone **(23c)**



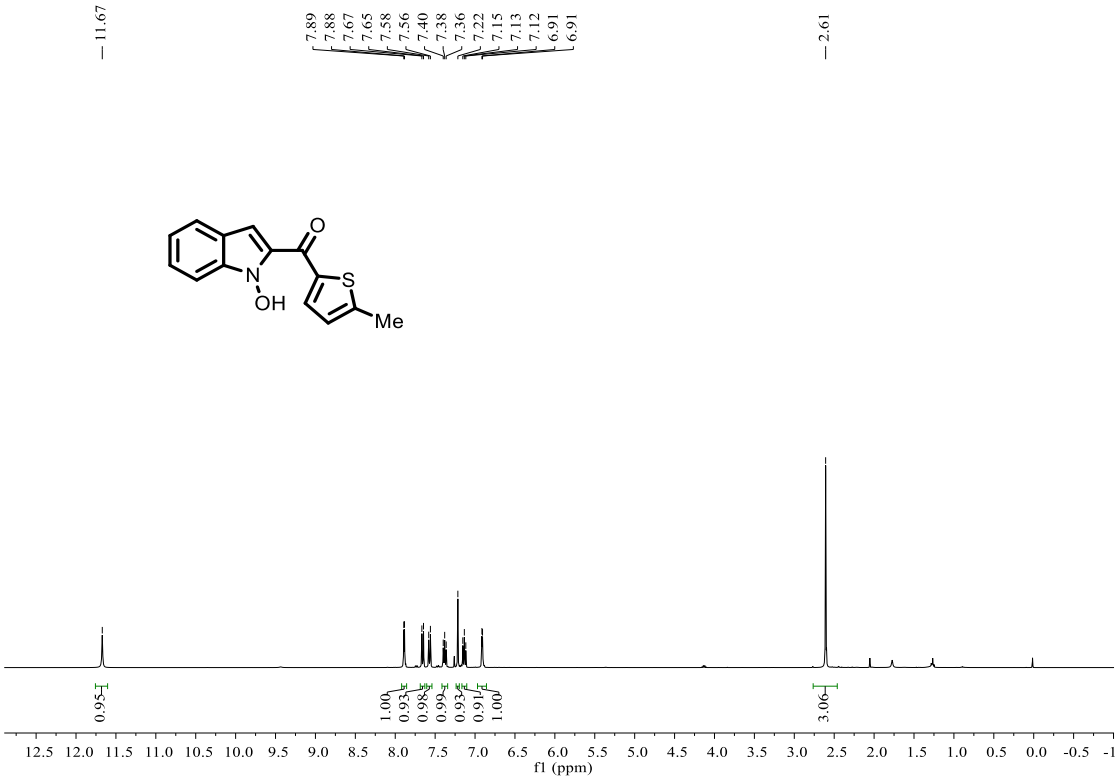




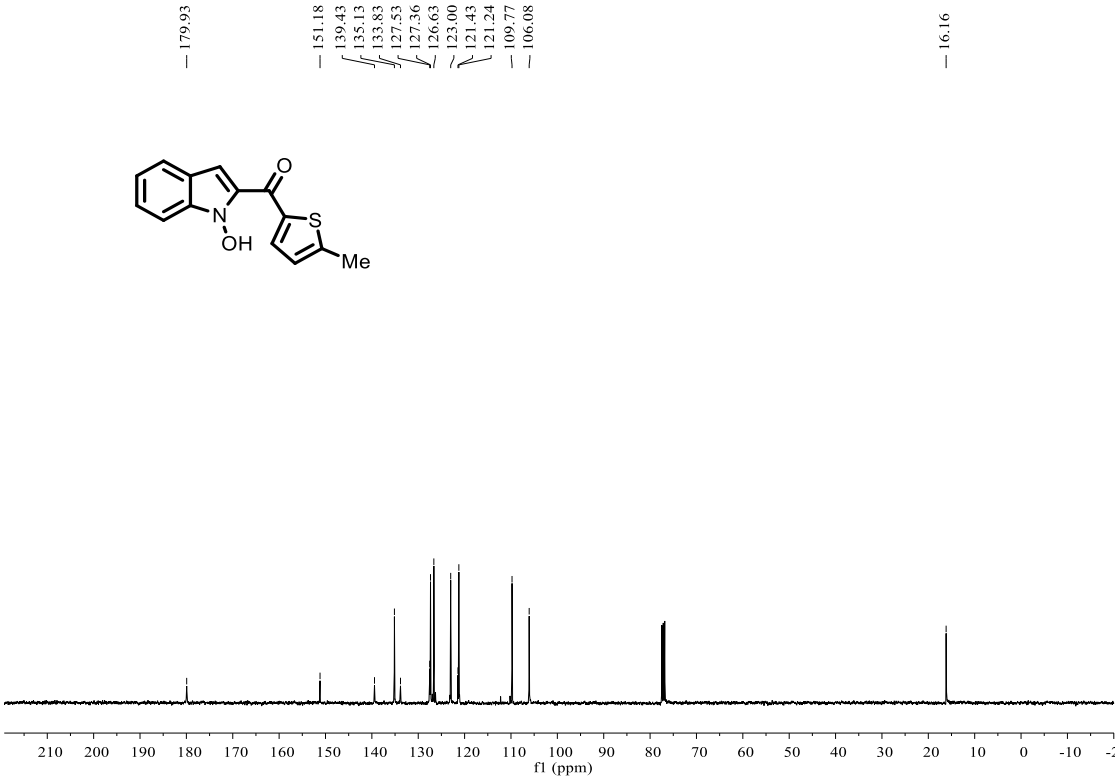
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(5-methylfuran-2-yl)methanone **(23c)**



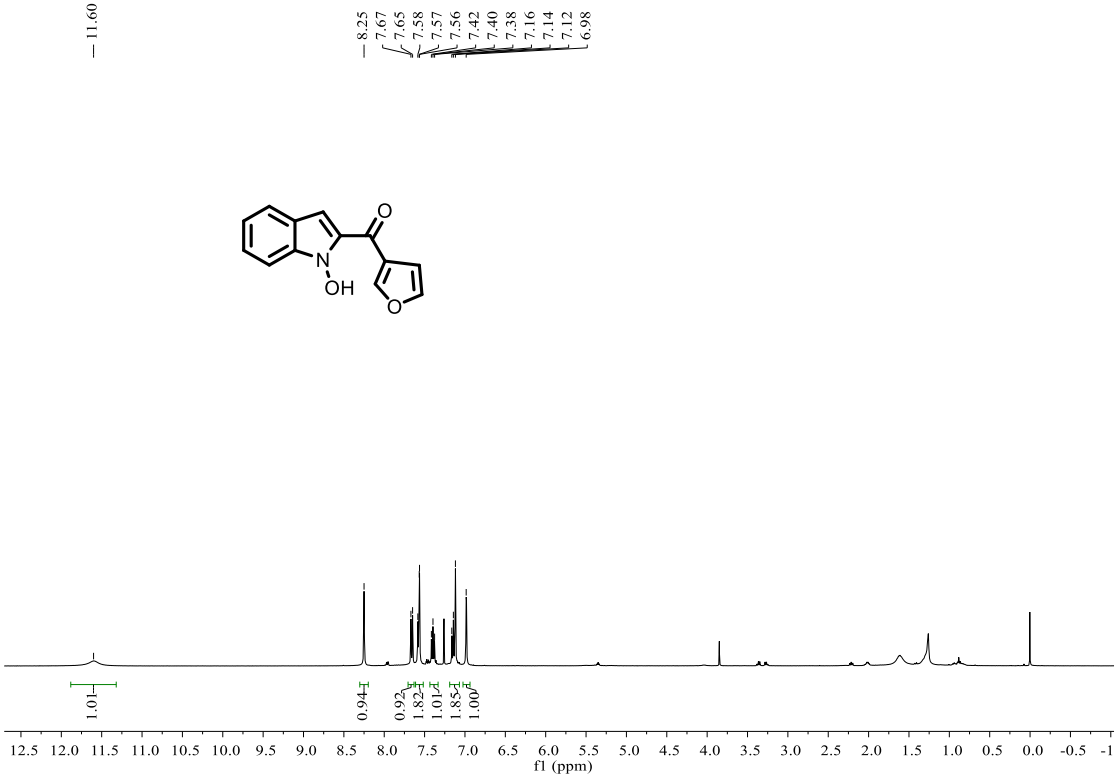
^{1}H NMR (400 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(5-methylthiophen-2-yl)methanone **(24c)**



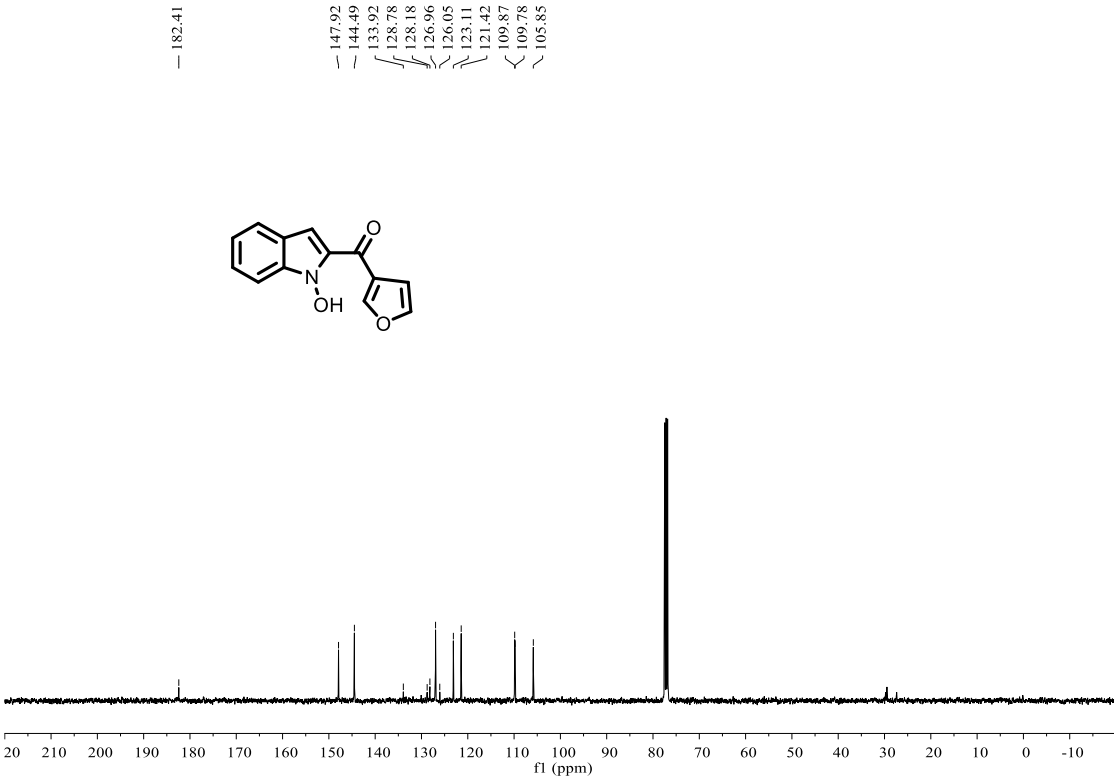
^{13}C NMR (101 MHz, $CDCl_3$) of (1-hydroxy-1*H*-indol-2-yl)(5-methylthiophen-2-yl)methanone **(24c)**



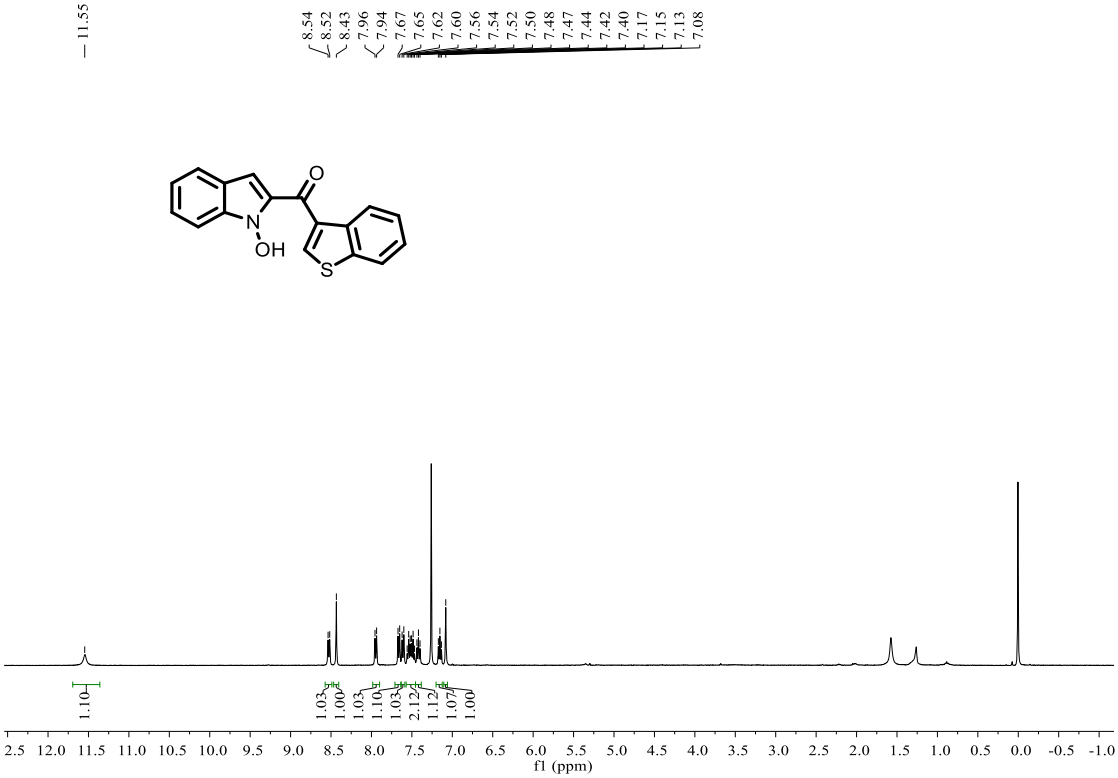
^{1}H NMR (400 MHz, $CDCl_3$) of furan-3-yl(1-hydroxy-1*H*-indol-2-yl)methanone **(25c)**



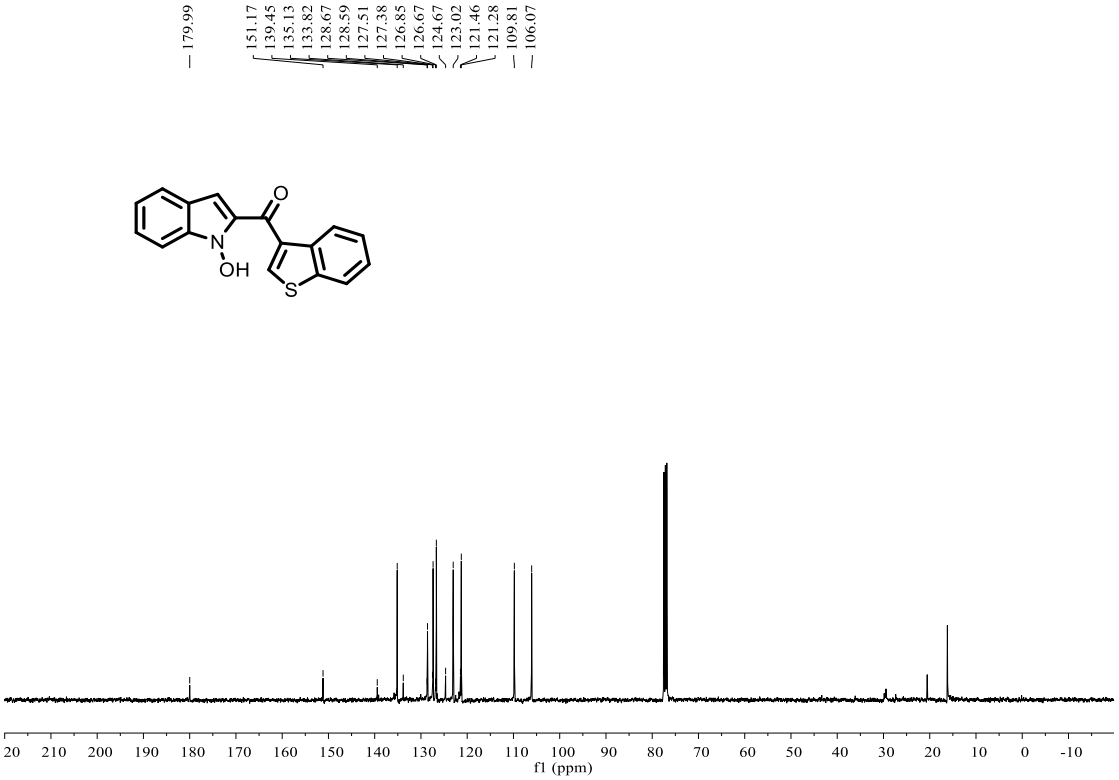
^{13}C NMR (101 MHz, $CDCl_3$) of furan-3-yl(1-hydroxy-1*H*-indol-2-yl)methanone **(25c)**



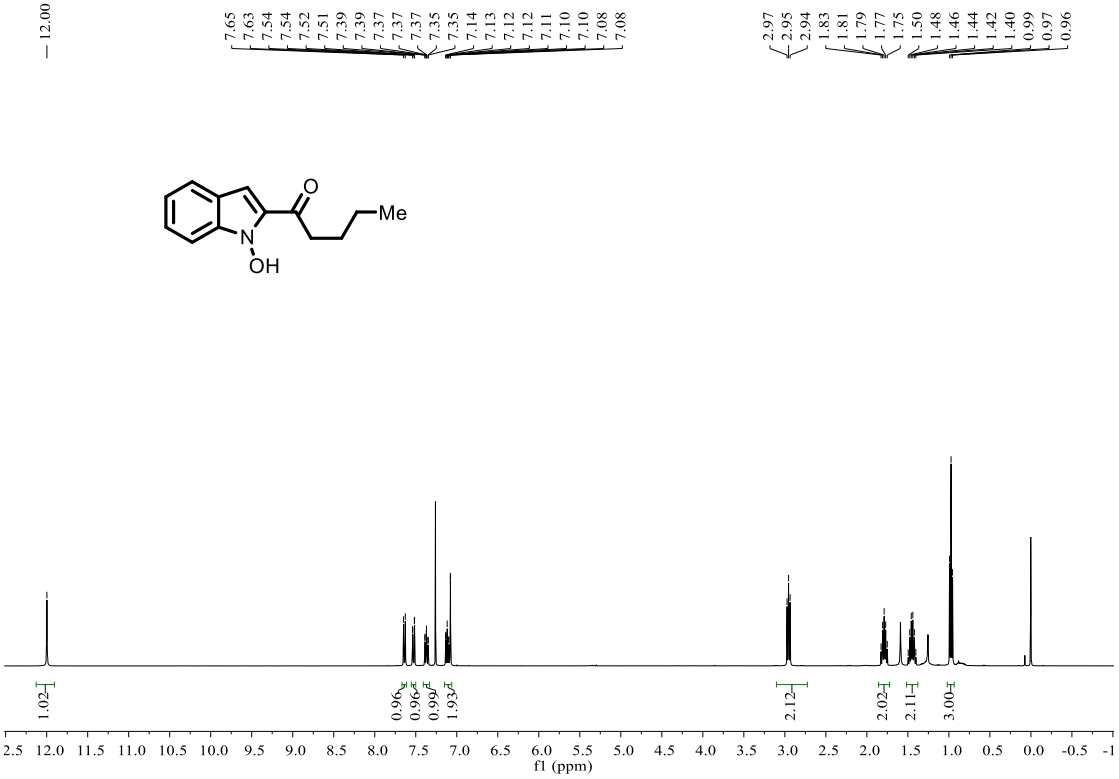
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-3-yl(1-hydroxy-1*H*-indol-2-yl)methanone **(26c)**



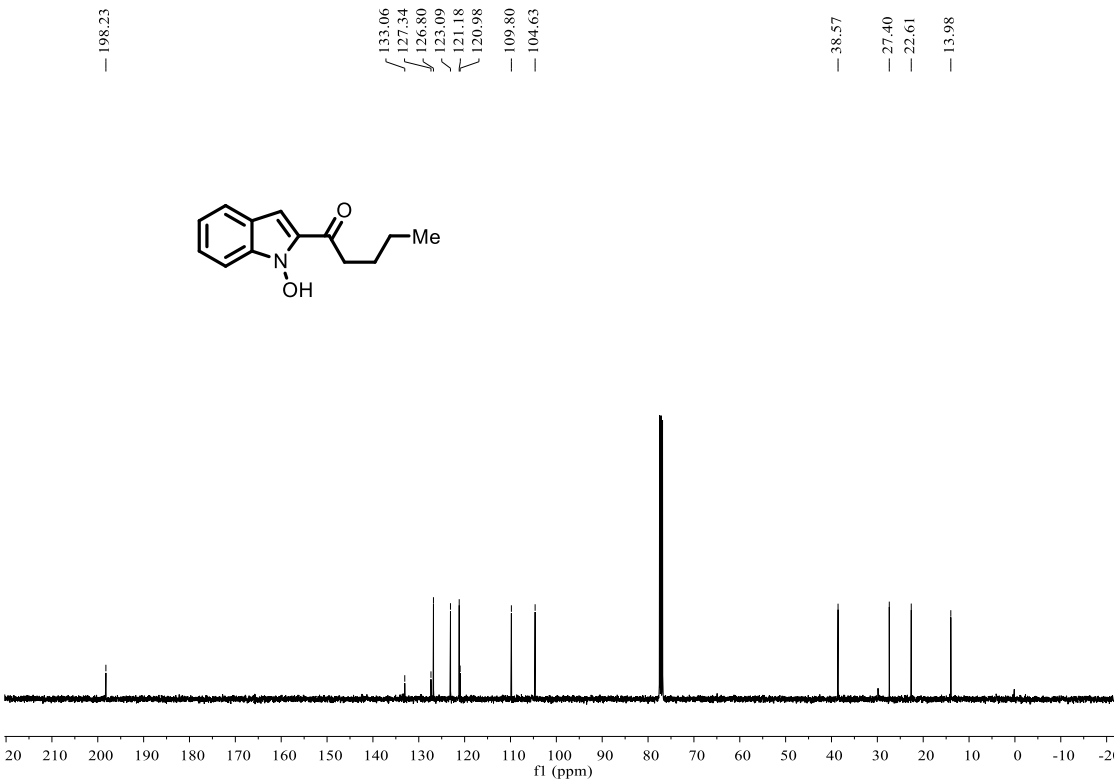
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-3-yl(1-hydroxy-1*H*-indol-2-yl)methanone **(26c)**



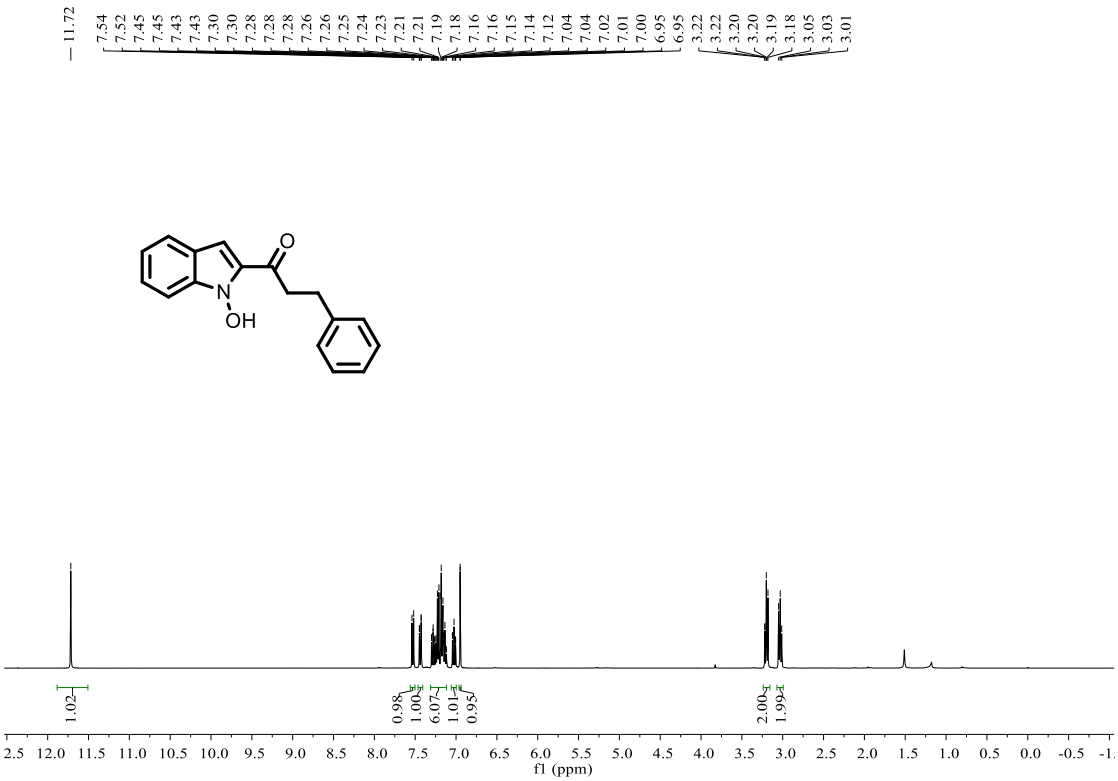
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(1-hydroxy-1*H*-indol-2-yl)pentan-1-one **(27c)**



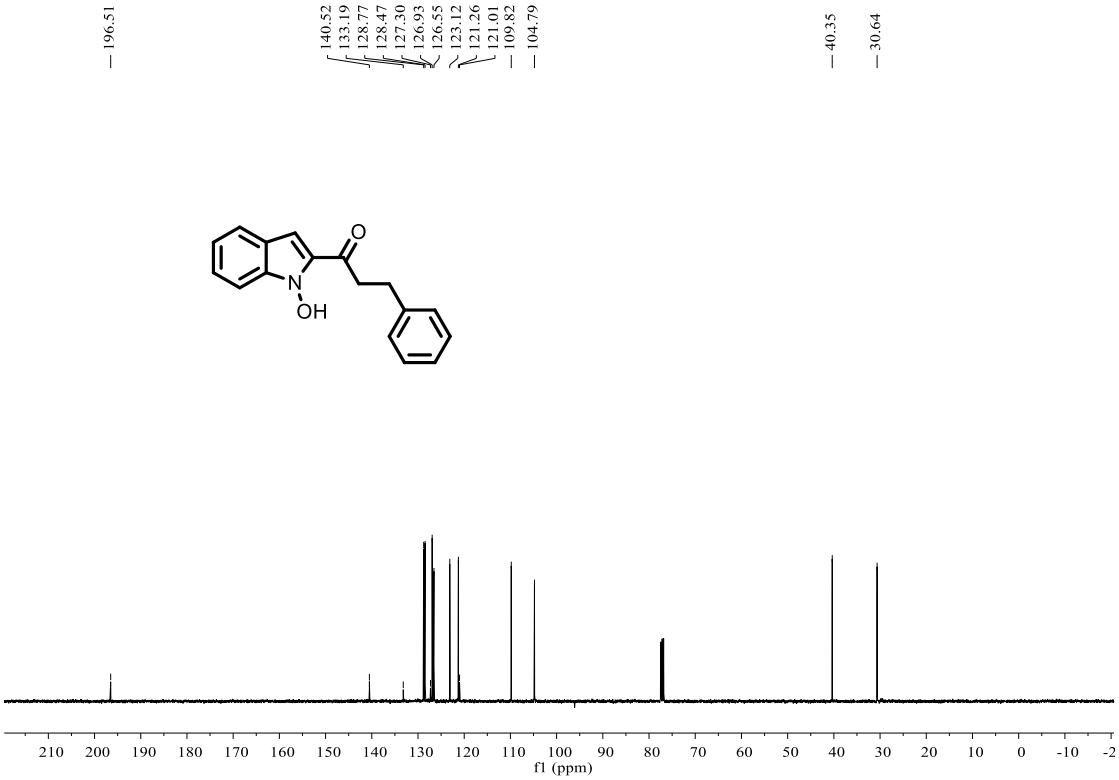
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(1-hydroxy-1*H*-indol-2-yl)pentan-1-one **(27c)**



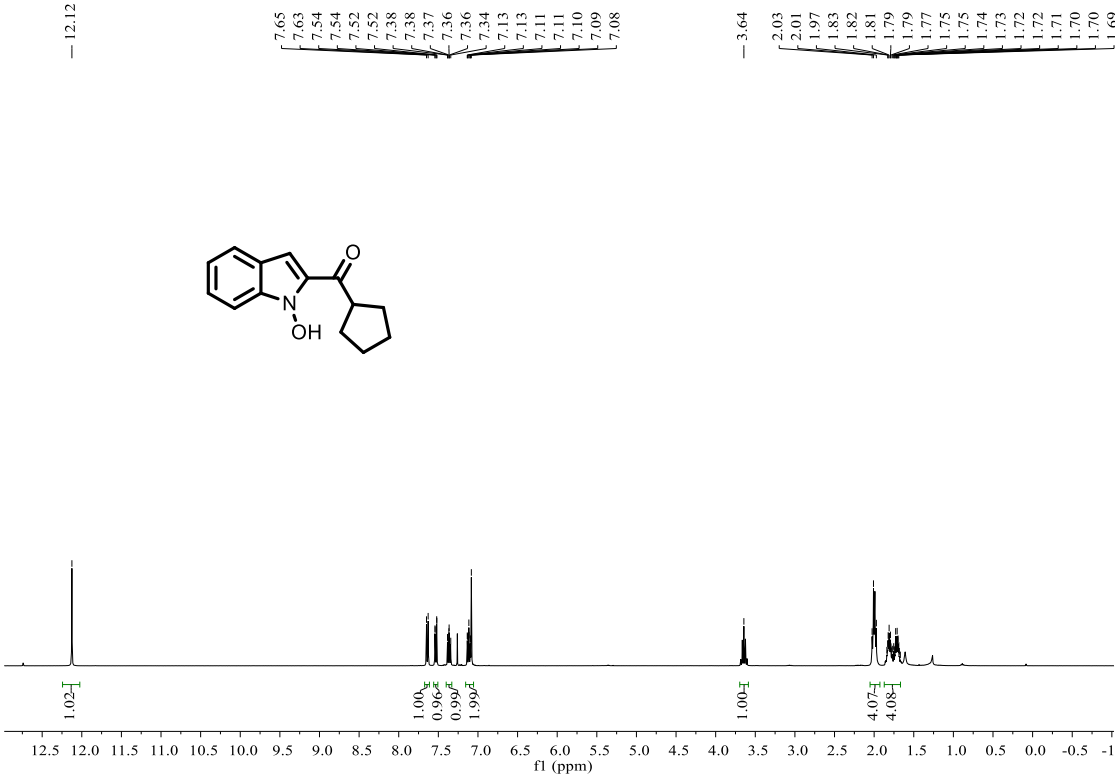
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(1-hydroxy-1*H*-indol-2-yl)-3-phenylpropan-1-one **(28c)**



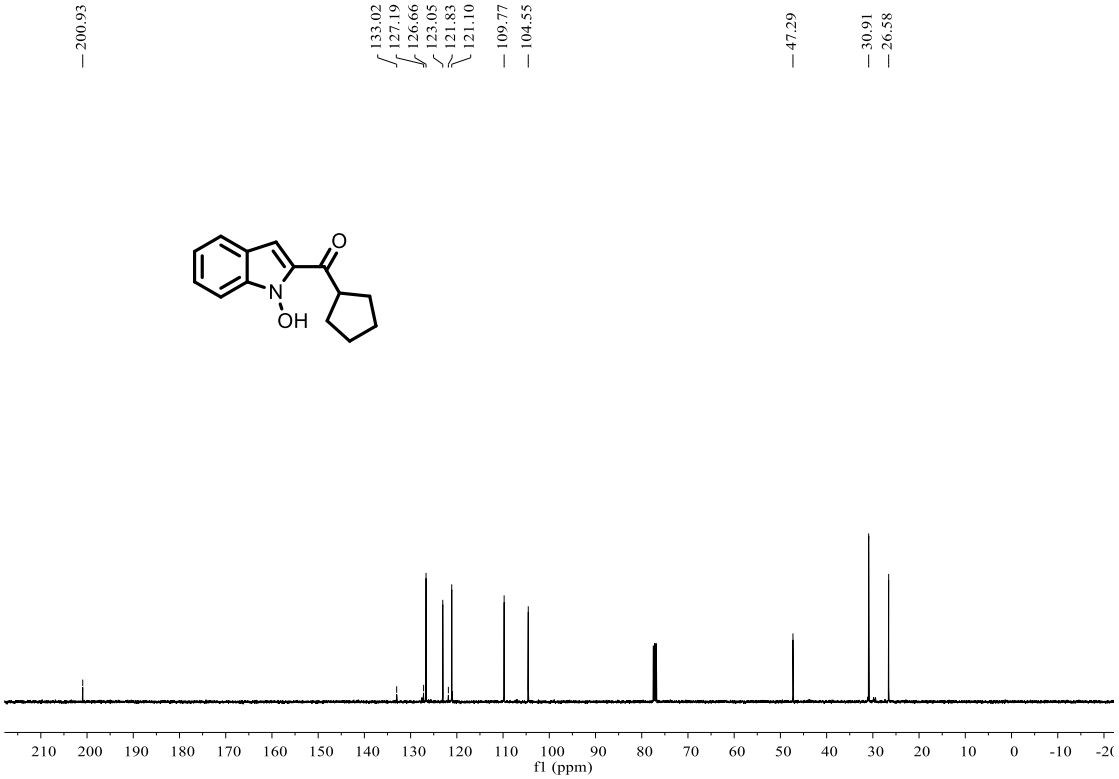
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(1-hydroxy-1*H*-indol-2-yl)-3-phenylpropan-1-one **(28c)**



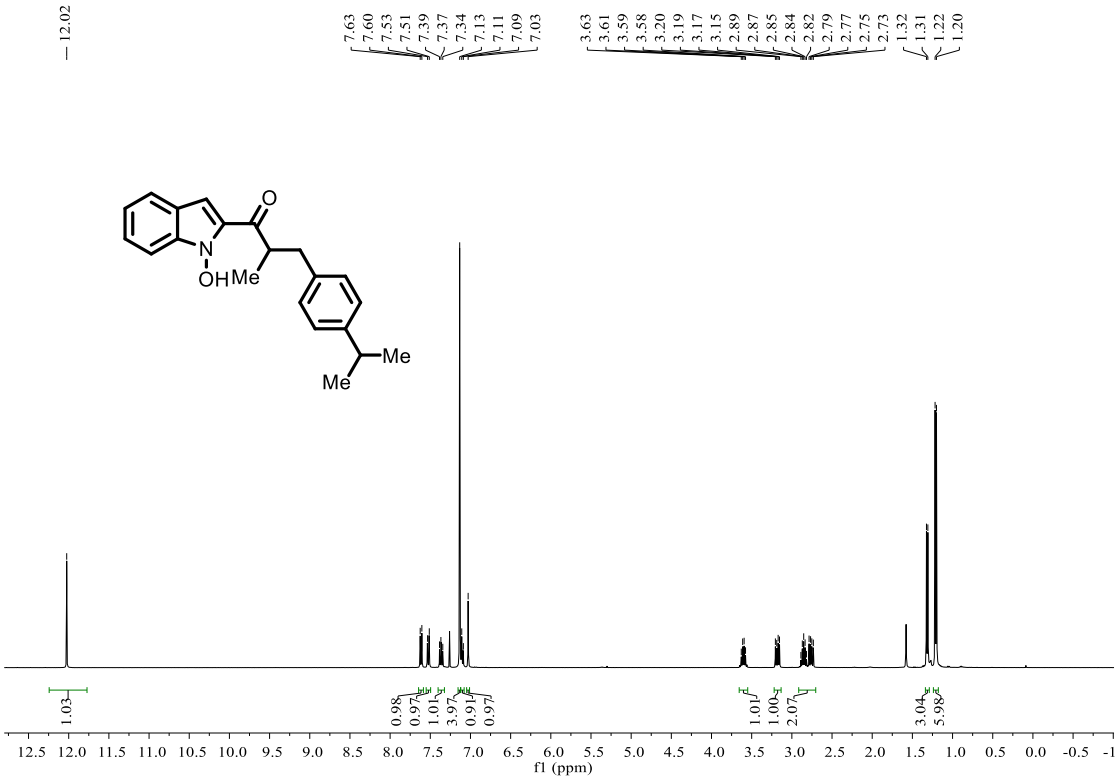
^{1}H NMR (400 MHz, $CDCl_3$) of cyclopentyl(1-hydroxy-1*H*-indol-2-yl)methanone **(29c)**



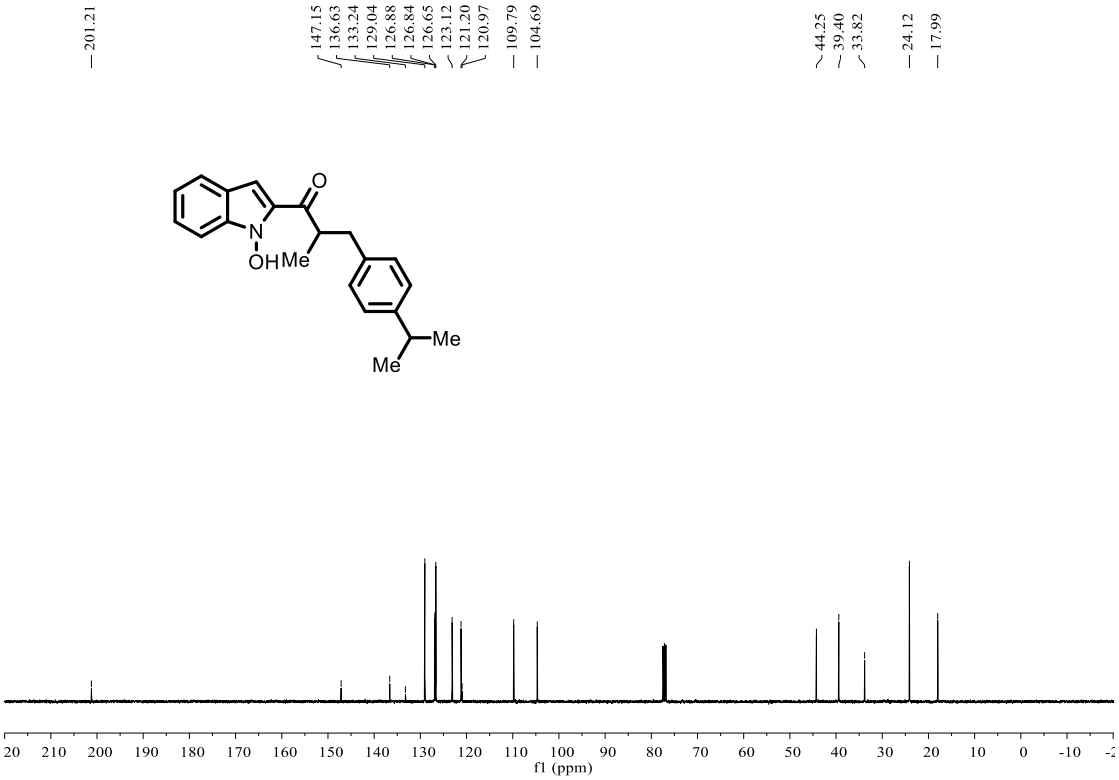
^{13}C NMR (101 MHz, $CDCl_3$) of cyclopentyl(1-hydroxy-1*H*-indol-2-yl)methanone **(29c)**



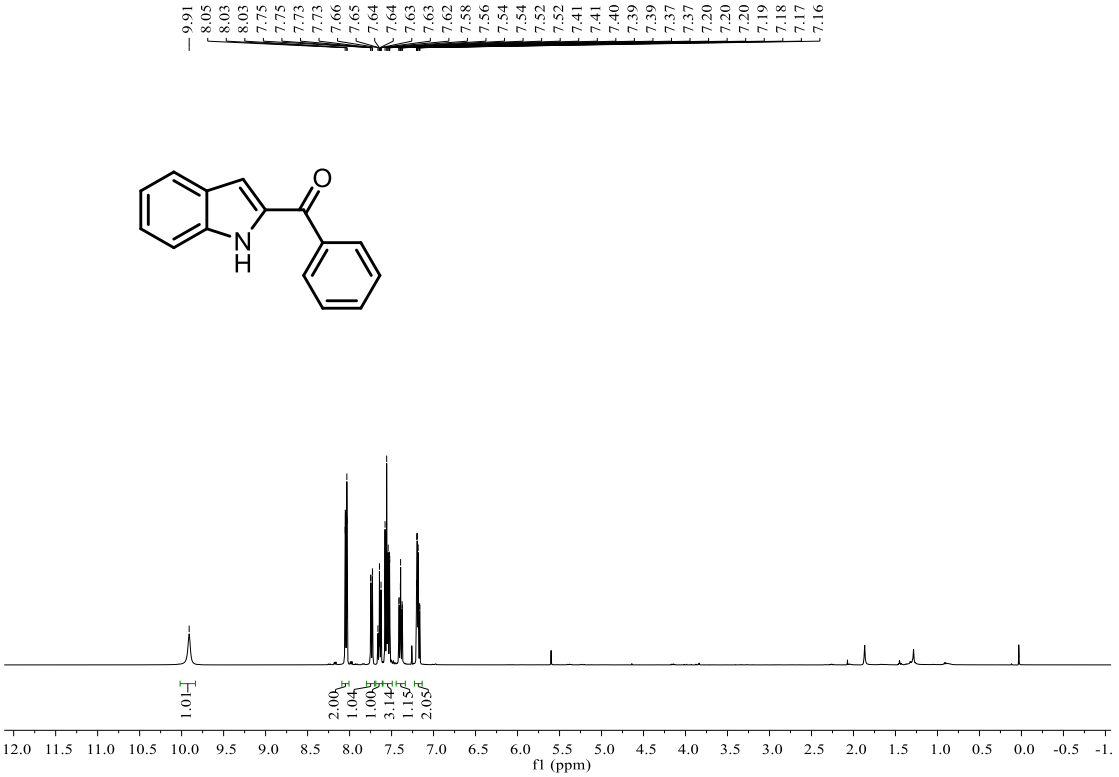
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(1-hydroxy-1*H*-indol-2-yl)-3-(4-isopropylphenyl)-2-methylpropan-1-one **(30c)**



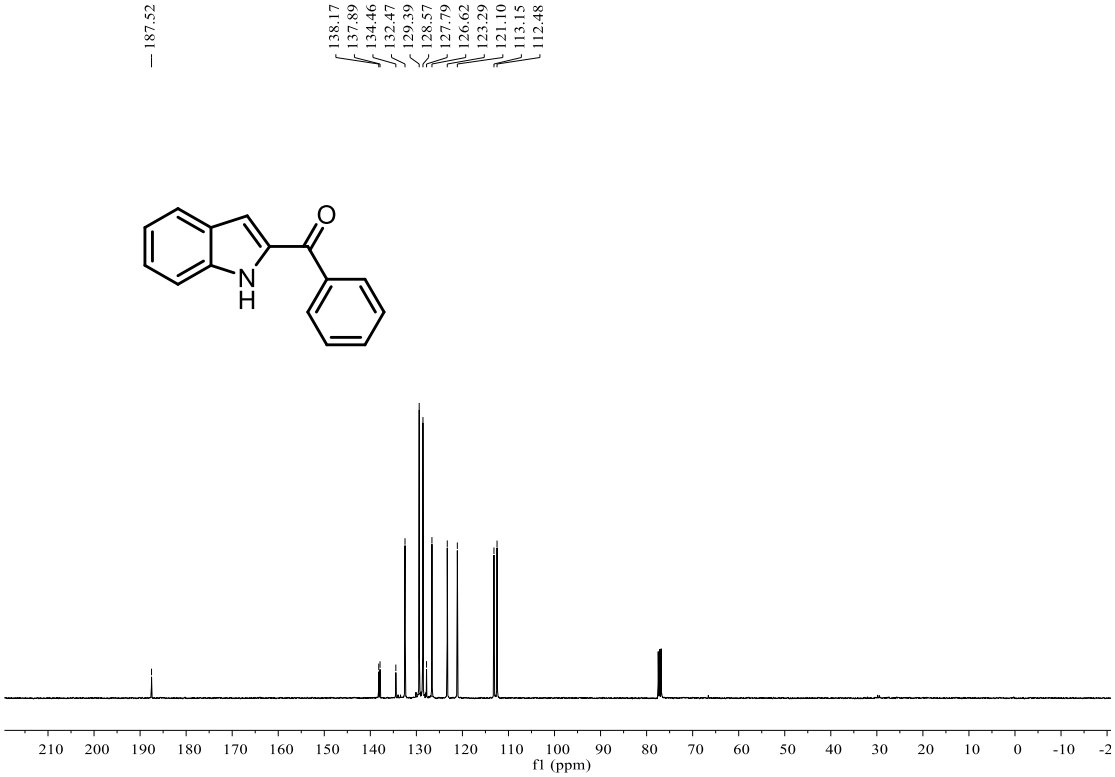
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(1-hydroxy-1*H*-indol-2-yl)-3-(4-isopropylphenyl)-2-methylpropan-1-one **(30c)**



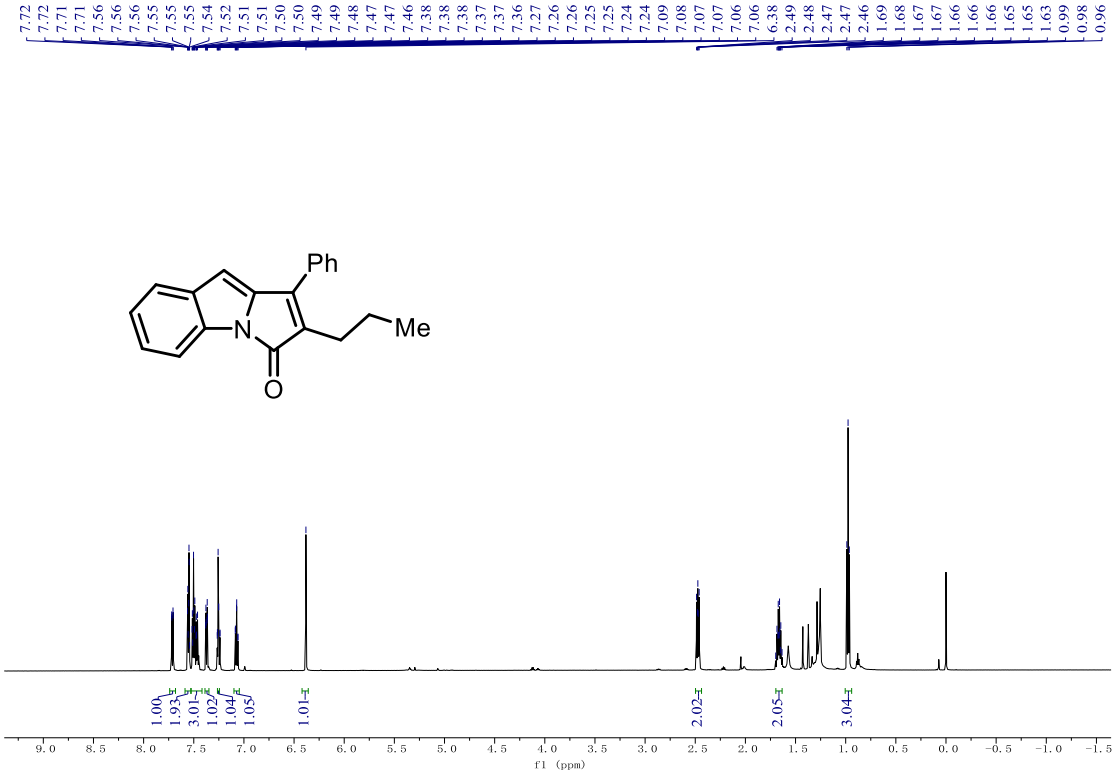
^{1}H NMR (400 MHz, $CDCl_3$) of (1H-indol-2-yl)(phenyl)methanone (**1d**)



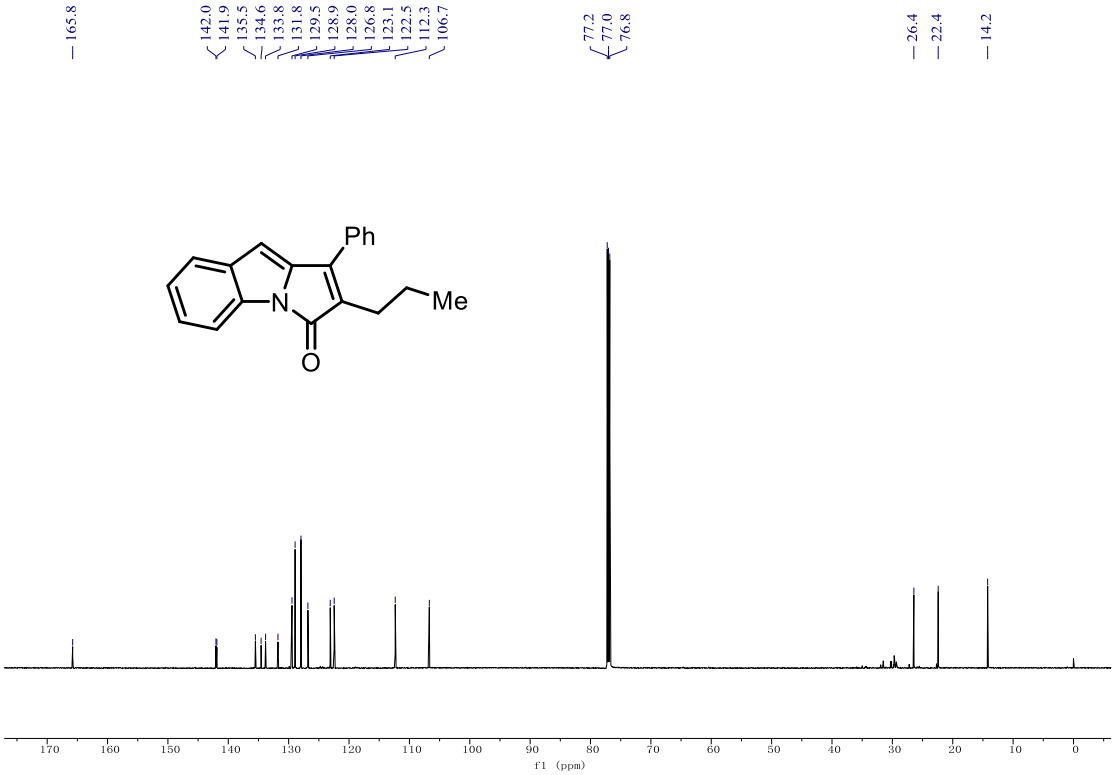
^{13}C NMR (101 MHz, $CDCl_3$) of (1H-indol-2-yl)(phenyl)methanone (**1d**)



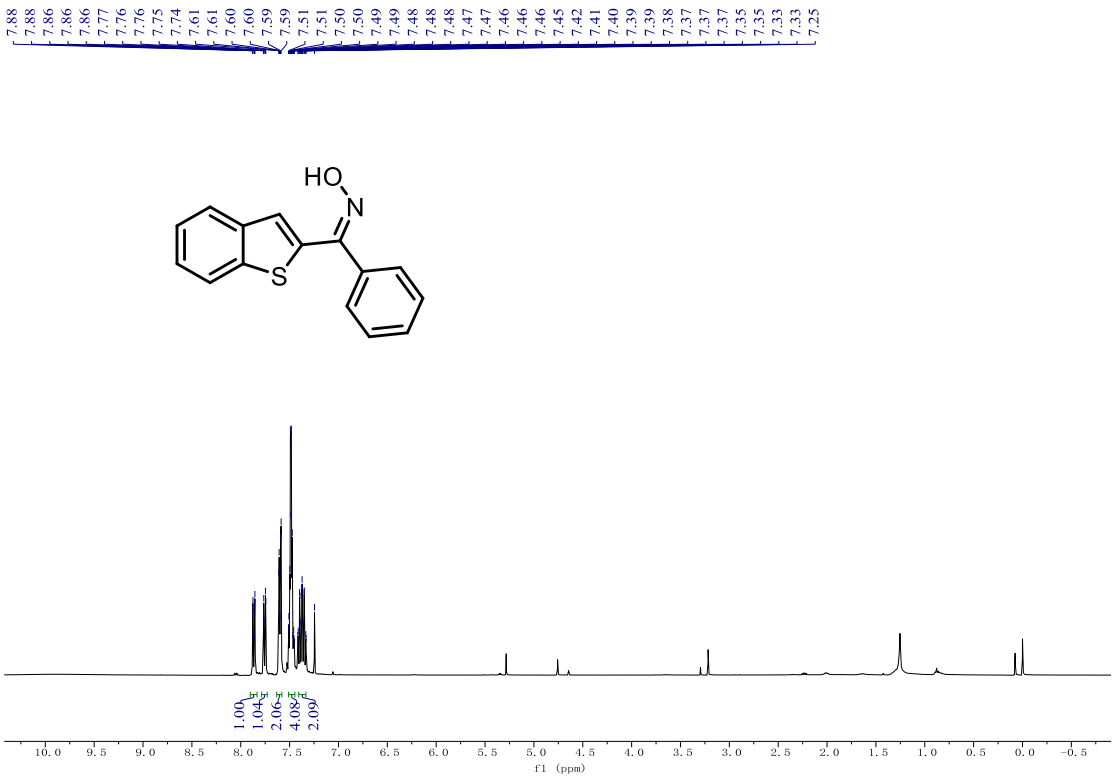
^{1}H NMR (400 MHz, $CDCl_3$) of 1-phenyl-2-propyl-3H-pyrrolo[1,2-a]indol-3-one **(3d)**



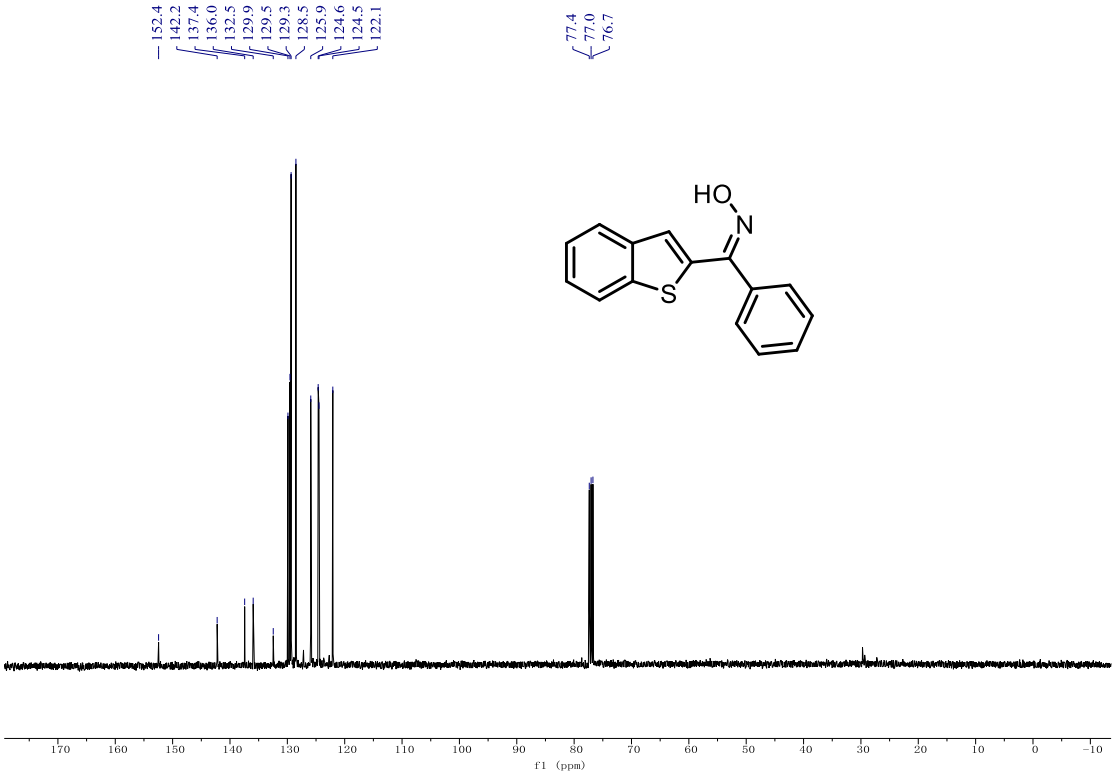
^{13}C NMR (101 MHz, $CDCl_3$) of 1-phenyl-2-propyl-3H-pyrrolo[1,2-a]indol-3-one **(3d)**



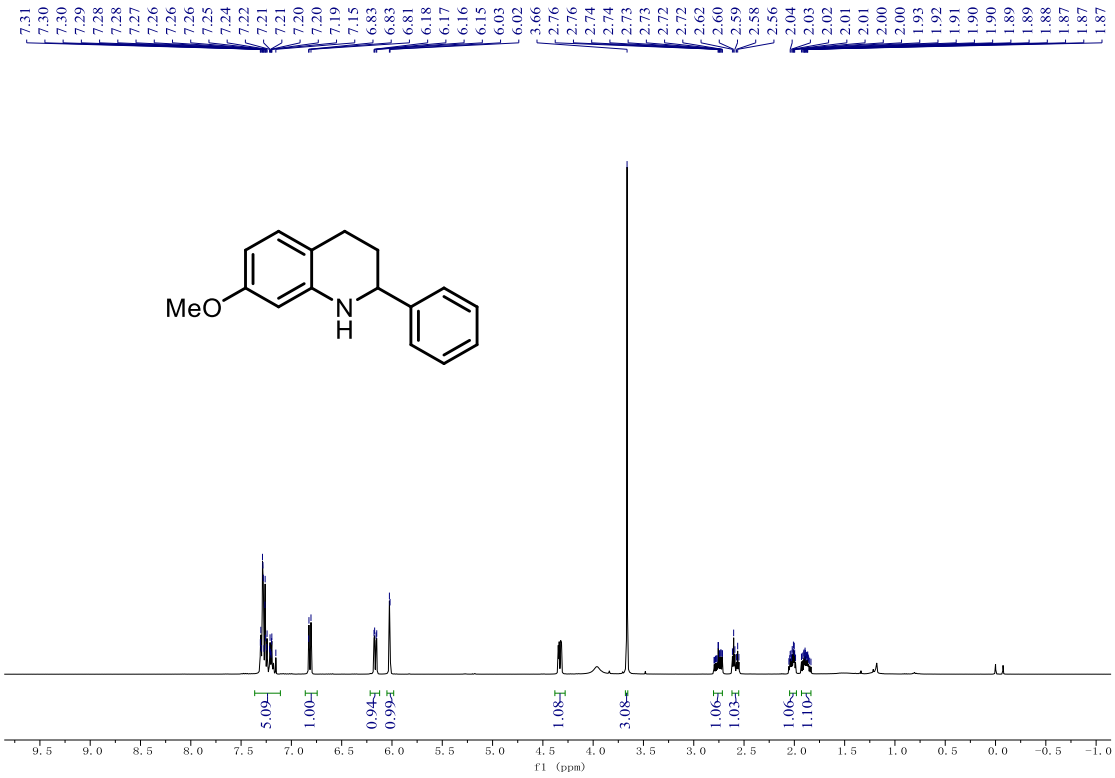
^{1}H NMR (400 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(phenyl)methanone oxime **(4d)**



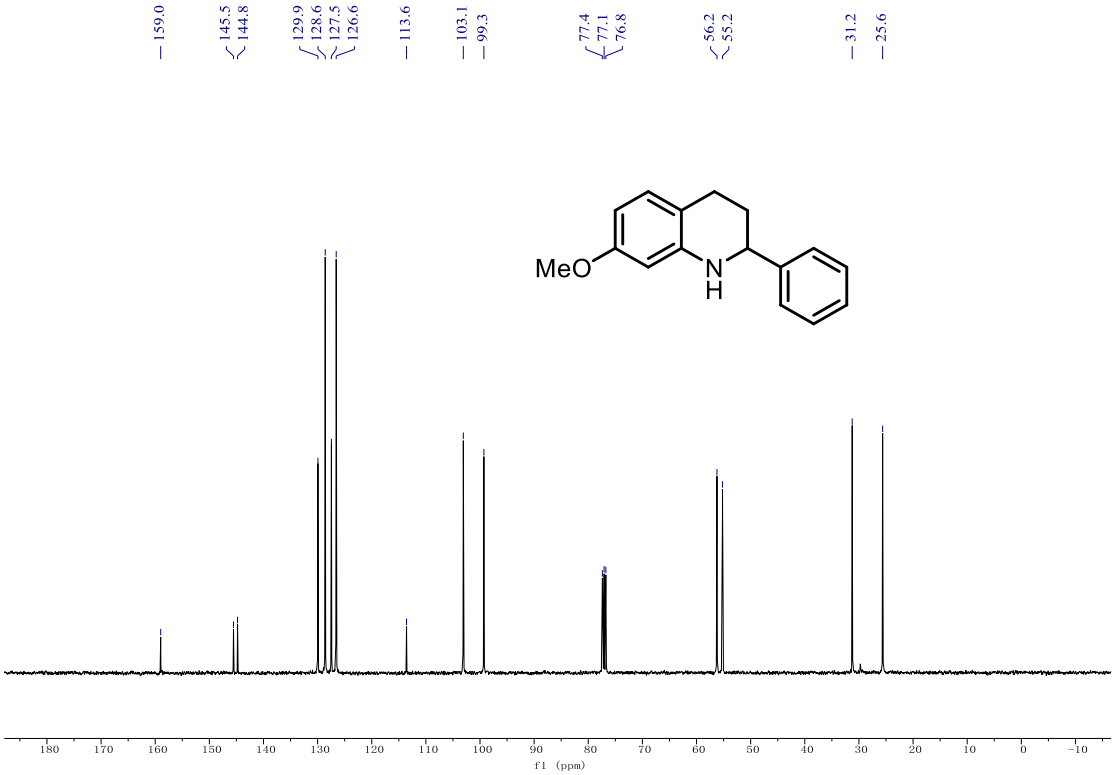
^{13}C NMR (101 MHz, $CDCl_3$) of benzo[*b*]thiophen-2-yl(phenyl)methanone oxime **(4d)**



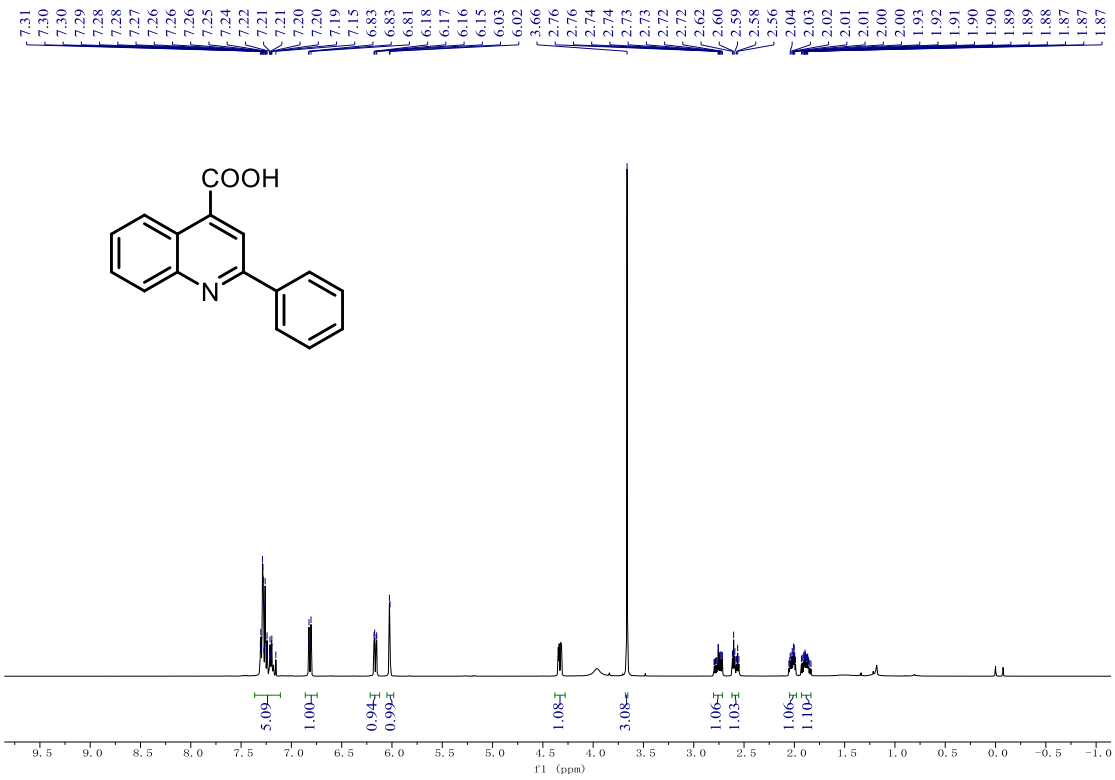
^{1}H NMR (400 MHz, $CDCl_3$) of 7-methoxy-2-phenyl-1,2,3,4-tetrahydroquinoline **(5d)**



^{13}C NMR (101 MHz, $CDCl_3$) of 7-methoxy-2-phenyl-1,2,3,4-tetrahydroquinoline **(5d)**



^{1}H NMR (400 MHz, DMSO-d_6) of cinchophen **(6d)**



^{13}C NMR (101 MHz, DMSO-d_6) of cinchophen **(6d)**