Supporting Information

Reactivity divergence in the cyclization of terminal epoxides all-carbon-tethered to indoles

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Table of Contents

1. General Information

***Reagents and Solvents*:** Unless otherwise stated, all commercially available reagents and solvents were employed for reactions as received without further purification. Dry solvents refer to solvents freshly distilled over appropriate drying agents prior to use. All dry reactions were carried out in oven-dried glassware and under nitrogen (N_2) atmosphere sealed with rubber septa (Aldrich). Commercially available solvents were used for column chromatography without any further purification.

***Purification of Synthesized Compounds*:** All reactions and fractions from column chromatography were monitored by thin-layer chromatography (TLC). Commercial aluminum sheets pre-coated (0.2mm layer thickness) with silica gel 60 F_{254} were used for this purpose. Visualization of TLC plates was performed by UV fluorescence at 254 nm and/or by staining with I_2 vapor or by immersion in an ethanolic vanillin solution or by immersion in a $KMnO_4$ solution followed by heating. Product purification by column chromatography was executed using silica gel (100–200 mesh) procured from Merck.

***Spectroscopy and Spectrometry*:** NMR spectra were recorded on JEOL 400 MHz spectrometers. Chemical shifts (δ) are quoted in parts per million (ppm) and are referenced to residual $CHCl_3$ (7.26 ppm) for ^1H NMR spectra and for ^{13}C spectra, δ values were referenced to $CDCl_3$ (77.16). Coupling constants (*J*) are quoted in Hertz (Hz), rounded to the nearest 0.1 Hz. The ^1H NMR spectra are reported as follows: ppm (multiplicity, coupling constants, and number of protons). Multiplicities in ^1H NMR are abbreviated as follows: singlet (s), doublet (d), triplet (t), quartet (q), doublet of doublets (dd), doublet of doublet of doublets (ddd), doublet of doublet of triplets (ddt), doublet of triplets (dt), triplet of doublets (td), multiplet (m) and broad (br). All spectra were recorded at 25 ^oC. Spectra were analyzed using Mestrelab MestReNova 15.0 software. Low-resolution mass spectra (LRMS) were recorded on an Agilent 6125 SQ LCMS system.

***CHN content*:** The organic content (wt % C, H, N) in the synthesized compounds was determined by combustion analysis using a PerkinElmer 20 CHN analyzer.

2. Experimental details and Characterization Data

2.1. Preparation of ketones 1a–q, 8a–g, 11a, 11b and 14a–f

Figure S1 shows the structures of starting aldehydes and ketones used in the synthesis of ketone substrates **1a–q, 8a–g, 11a, 11b** and **14a–f**. All these compounds are either commercially available or known compounds which were prepared following literature procedures.

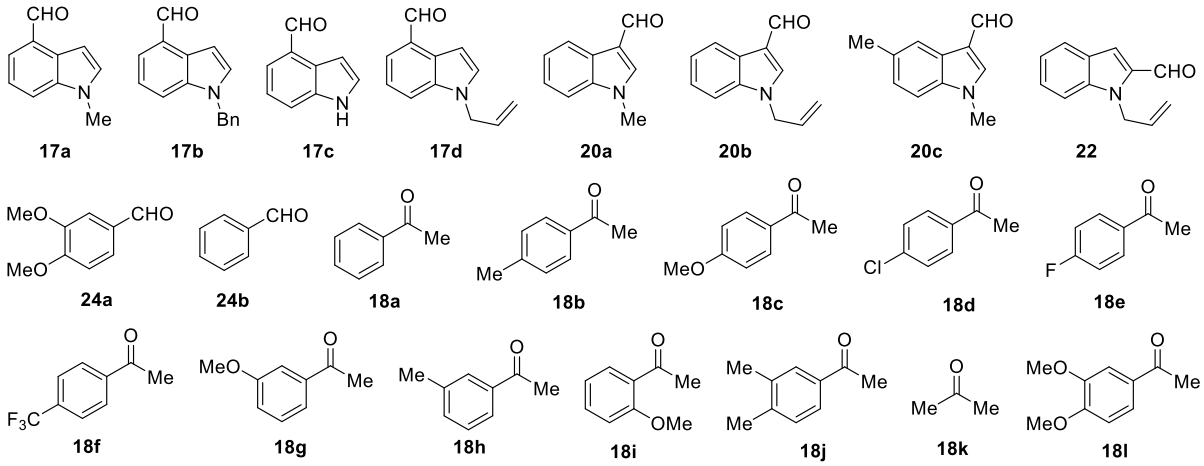
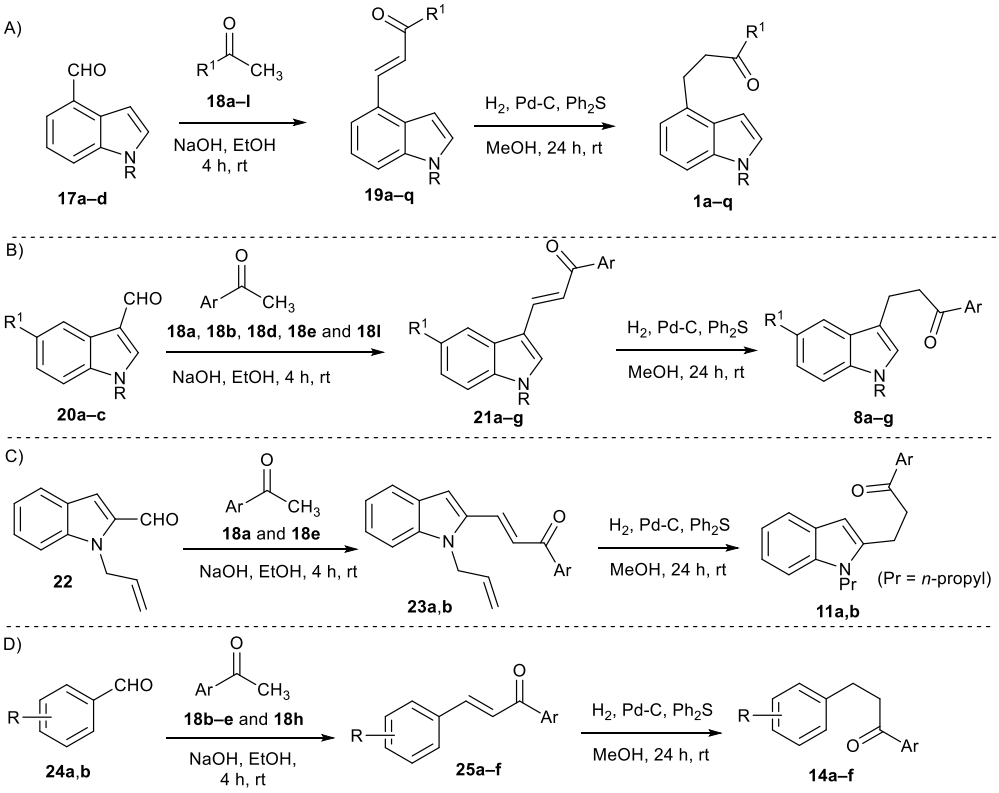


Figure S1. Aldehydes and ketones used as starting materials for the synthesis of ketone substrates.

Schemes S1 depicts the preparation of ketones **1a–q, 8a–g, 11a, 11b** and **14a–f** structures of which are shown in Figure S2.



Scheme S1. Synthesis of ketone substrates **1a–q, 8a–g, 11a, 11b** and **14a–f**.

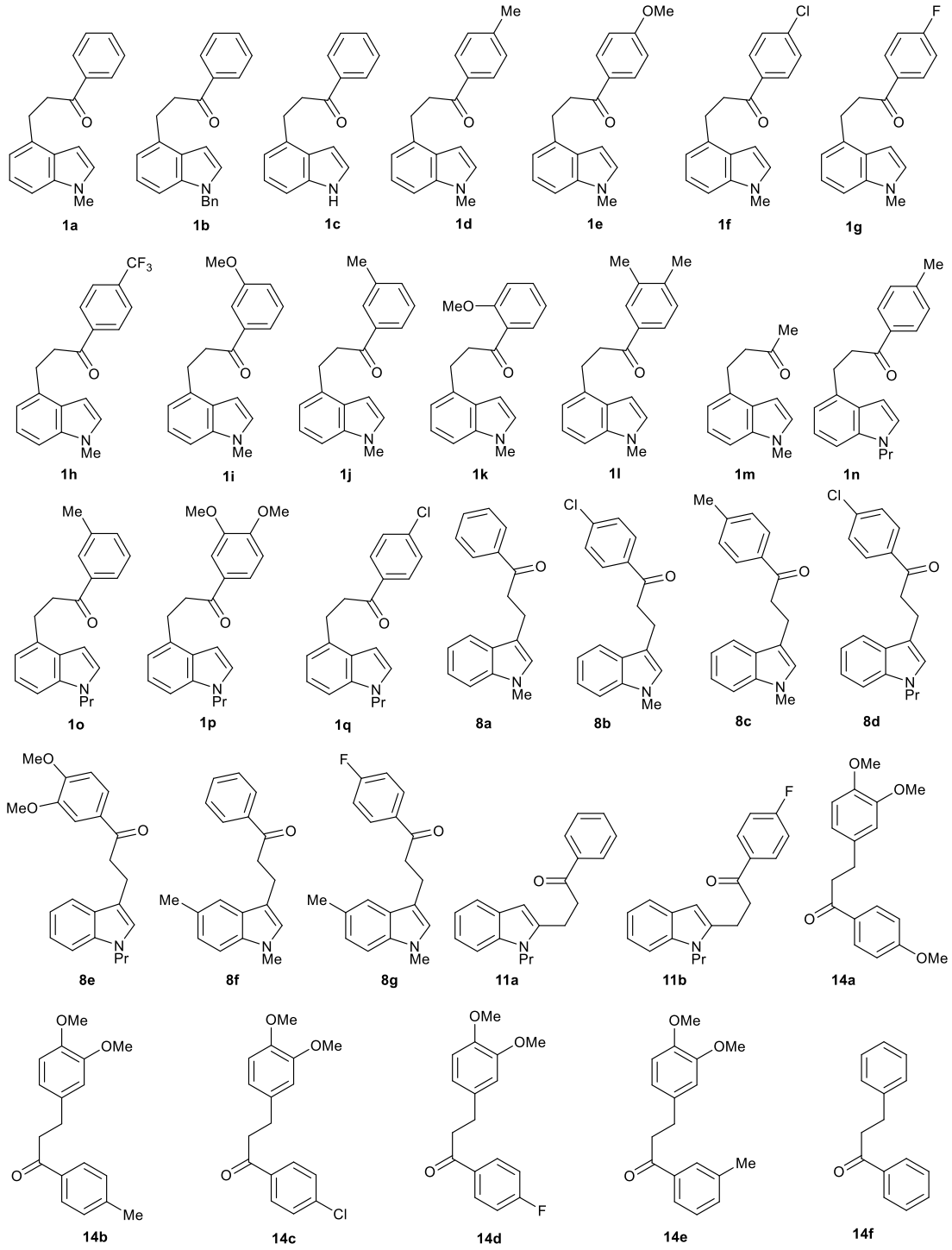


Figure S2: Structures of synthesized substrates **1a–q, 8a–g, 11a, 11b** and **14a–f**.

General Procedure A: Synthesis of compounds 19a–q, 21a–g, 23a, 23b, 25a–f

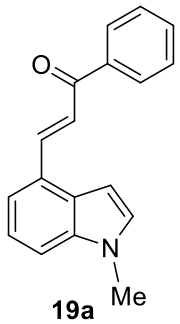
A mechanically stirred mixture of compound **17**, **20**, **22**, or **24** (2.0 mmol, 1.0 equiv) and acetophenone **18** (2.4 mmol 1.2 equiv) in ethanol (10 mL) was cooled to 0 °C. A 2 N NaOH solution (8 mL) was then added dropwise. The resulting mixture was stirred at rt for 4 h. After completion, the reaction was quenched with 1 M HCl, and the aqueous layer was

extracted with EtOAc (3 × 20 mL). The combined organic extracts were washed with water, dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The crude product was purified by silica gel column chromatography to afford compound **19**, **21**, **23**, or **25**.

General Procedure B: Synthesis of compounds 1a–q, 8a–g, 11a, 11b and 14a–f

A round-bottom flask was charged with compound **19**, **21**, **23**, or **25** (1.0 mmol) and 10 wt% Pd/C. The flask was sealed, evacuated, and backfilled with N_2 three times. Degassed EtOH (10 mL) and diphenyl sulfide (8.0 μmol) were then added via syringe. The flask was slightly evacuated, and a H_2 balloon was attached. The reaction mixture was stirred for 24 h at rt. Upon completion, the mixture was filtered through a pad of Celite and concentrated under reduced pressure. The crude residue was purified via silica gel column chromatography to afford the corresponding hydrogenated product **1**, **8**, **11** or **14**.

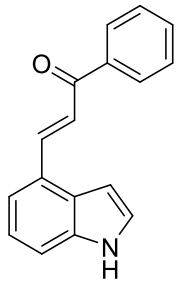
(*E*)-3-(1-methyl-1*H*-indol-4-yl)-1-phenylprop-2-en-1-one (19a)



19a

The title compound **19a** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18a** (288 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 91% (476 mg). **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 8.25 (d, *J* = 15.8 Hz, 1H), 8.12–8.05 (m, 2H), 7.73 (d, *J* = 15.7 Hz, 1H), 7.64–7.57 (m, 1H), 7.56–7.48 (m, 3H), 7.41 (d, *J* = 8.2 Hz, 1H), 7.29 (d, *J* = 7.3 Hz, 1H), 7.20 (d, *J* = 3.2 Hz, 1H), 6.84 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.84 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 191.0, 144.1, 138.7, 137.4, 132.7, 130.5, 128.7, 128.7, 128.0, 127.3, 122.3, 121.7, 120.7, 111.9, 100.0, 33.2. **Anal. calcd. for** $C_{18}H_{15}NO$: C, 82.73; H, 5.79; N, 5.36; found: C, 82.97; H, 5.72; N, 5.45.

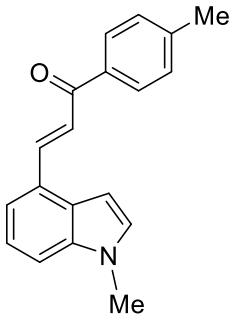
(*E*)-3-(1*H*-indol-4-yl)-1-phenylprop-2-en-1-one (19c)



19c

The title compound **19c** was prepared from **17c** (290 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18a** (288 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 83% (410 mg). ^{1}H and ^{13}C NMR spectra are in accordance with literature report.[1]

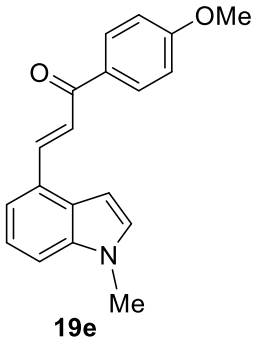
(*E*)-3-(1-methyl-1*H*-indol-4-yl)-1-(*p*-tolyl)prop-2-en-1-one (19d)



19d

The title compound **19d** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18b** (322 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 92% (506 mg). **^{1}H NMR (400 MHz, CDCl$_3$):** δ 8.22 (d, *J* = 15.8 Hz, 1H), 7.97–7.94 (m, 2H), 7.71 (d, *J* = 15.7 Hz, 1H), 7.48 (d, *J* = 6.6 Hz, 1H), 7.37 (d, *J* = 8.1 Hz, 1H), 7.30–7.27 (m, 2H), 7.25–7.21 (m, 1H), 7.16 (d, *J* = 3.1 Hz, 1H), 6.81 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.79 (s, 3H), 2.41 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, CDCl$_3$):** δ 190.5, 143.6, 143.5, 137.3, 136.1, 130.4, 129.4, 128.8, 128.0, 127.3, 122.3, 121.7, 120.6, 111.8, 99.9, 33.2, 21.8. **Anal. calcd. for** $C_{19}H_{17}NO$: C, 82.88; H, 6.22; N, 5.09; found: C, 82.71; H, 6.29; N, 5.17.

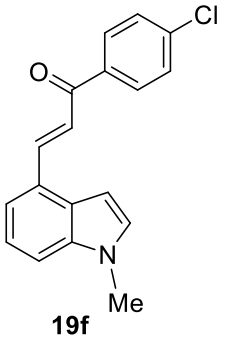
(*E*)-1-(4-methoxyphenyl)-3-(1-methyl-1*H*-indol-4-yl)prop-2-en-1-one (19e)



19e

The title compound **19e** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18c** (360 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 91% (530 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.24 (d, *J* = 15.7 Hz, 1H), 8.11–8.07 (m, 2H), 7.74 (d, *J* = 15.7 Hz, 1H), 7.51 (d, *J* = 7.3 Hz, 1H), 7.40 (d, *J* = 8.1 Hz, 1H), 7.28 (d, *J* = 7.3 Hz, 1H), 7.19 (d, *J* = 3.1 Hz, 1H), 7.02–6.98 (m, 2H), 6.84 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.90 (s, 3H), 3.84 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.5, 163.7, 143.5, 137.7, 131.9, 131.3, 130.7, 128.3, 127.8, 122.5, 122.0, 120.8, 114.3, 112.0, 100.3, 56.0, 33.5. **Anal. calcd. for** $C_{19}H_{17}NO_2$: C, 78.33; H, 5.88; N, 4.81; found: C, 78.56; H, 5.79; N, 4.88.

(*E*)-1-(4-chlorophenyl)-3-(1-methyl-1*H*-indol-4-yl)prop-2-en-1-one (19f)

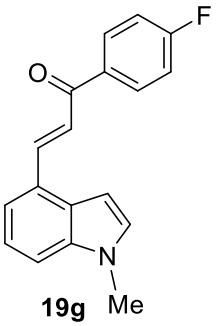


19f

The title compound **19f** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18d** (371 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 93% (550 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.21 (d, *J* = 15.6 Hz, 1H), 7.99–7.95 (mz, 2H), 7.64 (dd, *J* = 15.7, 0.9 Hz, 1H), 7.49–7.43 (m, 3H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.23 (d, *J* = 7.2 Hz, 1H), 7.17 (d, *J* = 3.2 Hz, 1H), 6.79 (d, *J* = 3.2 Hz, 1H), 3.81 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.9, 144.9, 139.4, 137.7, 137.4, 130.9, 130.4,

129.3, 128.4, 127.4, 122.0, 122.0, 121.1, 112.4, 100.3, 33.6. **Anal. calcd. for** $C_{18}H_{14}ClNO$: C, 73.10; H, 4.77; N, 4.74; found: C, 73.33; H, 4.85; N, 4.68.

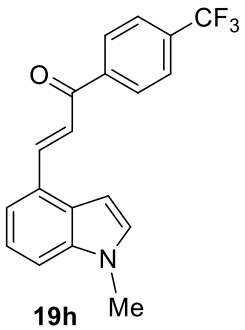
(*E*)-1-(4-fluorophenyl)-3-(1-methyl-1*H*-indol-4-yl)prop-2-en-1-one (19g)



19g

The title compound **19g** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18e** (331 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 92% (513 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.24 (d, *J* = 15.7 Hz, 1H), 8.12–8.05 (m, 2H), 7.69 (d, *J* = 15.6 Hz, 1H), 7.50 (d, *J* = 7.3 Hz, 1H), 7.41 (d, *J* = 8.2 Hz, 1H), 7.28 (d, *J* = 7.4 Hz, 1H), 7.22–7.13 (m, 3H), 6.82 (dd, *J* = 3.2, 1.0 Hz, 1H), 3.84 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.3, 165.6 (d, *J* = 252.0 Hz), 144.3, 137.3, 135.0 (d, *J* = 3.1 Hz), 131.2 (d, *J* = 9.2 Hz), 130.5, 128.0, 127.1, 121.7, 121.7, 120.7, 115.8 (d, *J* = 22.0 Hz), 112.0, 99.9, 33.2. **Anal. calcd. for** $C_{18}H_{14}FNO$: C, 77.40; H, 5.05; N, 5.01; found: C, 77.63; H, 5.12; N, 5.11.

(*E*)-3-(1-methyl-1*H*-indol-4-yl)-1-(4-(trifluoromethyl)phenyl)prop-2-en-1-one (19h)

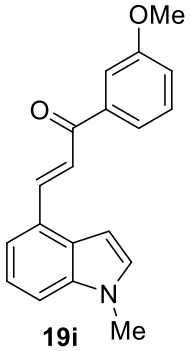


19h

The title compound **19h** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18f** (451 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15%

EtOAc/hexanes). Yield: 88% (579 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.27 (d, *J* = 15.7 Hz, 1H), 8.15–8.13 (m, 2H), 7.79–7.77 (m, 2H), 7.68 (d, *J* = 15.8 Hz, 1H), 7.52 (d, *J* = 7.3 Hz, 1H), 7.44 (d, *J* = 8.2 Hz, 1H), 7.31–7.26 (m, 1H), 7.22 (d, *J* = 3.2 Hz, 1H), 6.82 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.85 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 190.1, 145.3, 141.6 (q, *J* = 1.3 Hz), 137.4, 133.9 (q, *J* = 33.7 Hz), 130.7, 128.9 (q, *J* = 1.0 Hz), 128.6, 128.1, 126.8, 125.8 (q, *J* = 3.9 Hz), 122.5, 121.7, 121.7, 121.1, 112.4, 99.9, 33.2. **Anal. calcd. for** $C_{19}H_{14}F_3NO$: C, 69.30; H, 4.29; N, 4.25; found: C, 69.48; H, 4.22; N, 4.33.

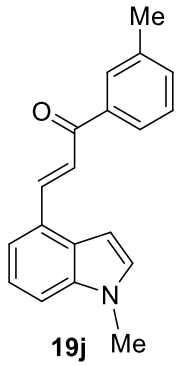
(*E*)-1-(3-methoxyphenyl)-3-(1-methyl-1*H*-indol-4-yl)prop-2-en-1-one (19i)



19i

The title compound **19i** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18g** (360 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 91% (530 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.25 (d, *J* = 15.8 Hz, 1H), 7.71 (d, *J* = 15.7 Hz, 1H), 7.65 (dt, *J* = 7.6, 1.2 Hz, 1H), 7.59 (dd, *J* = 2.7, 1.4 Hz, 1H), 7.51 (d, *J* = 7.3 Hz, 1H), 7.44–7.39 (m, 2H), 7.29–7.25 (m, 1H), 7.20 (d, *J* = 3.1 Hz, 1H), 7.14 (ddd, *J* = 8.2, 2.7, 1.0 Hz, 1H), 6.83 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.89 (s, 3H), 3.84 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 191.0, 160.3, 144.4, 140.4, 137.7, 130.8, 130.0, 128.3, 127.5, 122.6, 122.0, 121.5, 121.1, 119.6, 113.2, 112.2, 100.3, 55.9, 33.5. **Anal. calcd. for** $C_{19}H_{17}NO_2$: C, 78.33; H, 5.88; N, 4.81; found: C, 78.63; H, 6.07; N, 4.96.

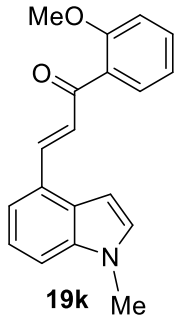
(*E*)-3-(1-methyl-1*H*-indol-4-yl)-1-(*m*-tolyl)prop-2-en-1-one (19j)



19j

The title compound **19j** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18h** (322 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 88% (484 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.24 (d, *J* = 15.8 Hz, 1H), 7.88–7.85 (m, 2H), 7.72 (d, *J* = 15.7 Hz, 1H), 7.52 (d, *J* = 7.3 Hz, 1H), 7.43–7.39 (m, 3H), 7.29 (d, *J* = 7.4 Hz, 1H), 7.20 (d, *J* = 3.1 Hz, 1H), 6.84 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.84 (s, 3H), 2.46 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 191.2, 143.8, 138.8, 138.5, 137.4, 133.5, 130.4, 129.2, 128.6, 128.0, 127.3, 125.8, 122.5, 121.7, 120.6, 111.8, 99.9, 33.2, 21.6. **Anal. calcd. for** $C_{19}H_{17}NO$: C, 82.88; H, 6.22; N, 5.09; found: C, 83.05; H, 6.30; N, 5.17.

(*E*)-1-(2-methoxyphenyl)-3-(1-methyl-1*H*-indol-4-yl)prop-2-en-1-one (19k)

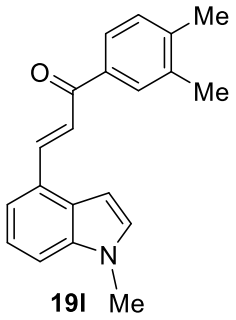


19k

The title compound **19k** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18i** (360 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 86% (501 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.06 (d, *J* = 15.9 Hz, 1H), 7.68 (dd, *J* = 7.6, 1.8 Hz, 1H), 7.61 (d, *J* = 15.9 Hz, 1H), 7.50–7.46 (m, 1H), 7.44 (d, *J* = 7.3 Hz, 1H), 7.38 (d, *J* = 8.2 Hz, 1H), 7.28–7.23 (m, 1H), 7.17 (d, *J* = 3.2 Hz, 1H), 7.08–7.04 (m, 1H), 7.02 (d, *J* = 8.4 Hz, 1H), 6.80 (dd, *J* = 3.2, 1.0 Hz, 1H), 3.92 (s, 3H), 3.82 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 193.6, 158.6, 142.9, 137.6, 133.1, 130.8, 130.6, 130.1,

128.1, 127.8, 127.7, 121.9, 121.3, 121.1, 112.1, 111.9, 100.3, 56.2, 33.5. **Anal. calcd. for** $C_{19}H_{17}NO_2$: C, 78.33; H, 5.88; N, 4.81; found: C, 78.51; H, 5.97; N, 4.90.

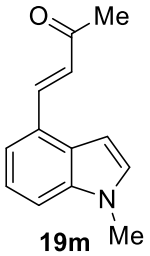
(*E*)-1-(3,4-dimethylphenyl)-3-(1-methyl-1*H*-indol-4-yl)prop-2-en-1-one (19l)



19l

The title compound **19l** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18j** (356 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 90% (520 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.24 (d, *J* = 15.7 Hz, 1H), 7.86 (s, 1H), 7.82 (d, *J* = 7.8 Hz, 1H), 7.73 (d, *J* = 15.7 Hz, 1H), 7.52 (d, *J* = 7.3 Hz, 1H), 7.40 (d, *J* = 8.1 Hz, 1H), 7.31–7.26 (m, 2H), 7.19 (d, *J* = 3.2 Hz, 1H), 6.84 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.84 (s, 3H), 2.37 (s, 3H), 2.35 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 190.4, 143.0, 141.9, 137.1, 136.8, 136.3, 130.0, 129.6, 129.5, 127.7, 127.2, 126.1, 122.2, 121.4, 120.1, 111.4, 99.7, 32.9, 19.9, 19.7. **Anal. calcd. for** $C_{20}H_{19}NO$: C, 83.01; H, 6.62; N, 4.84; found: C, 83.25; H, 6.68; N, 4.91.

(*E*)-4-(1-methyl-1*H*-indol-4-yl)but-3-en-2-one (19m)

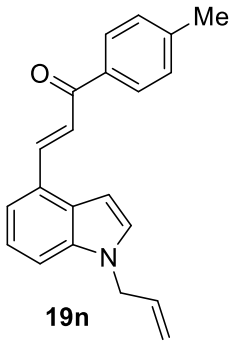


19m

The title compound **19m** was prepared from **17a** (318 mg, 2.0 mmol, 1.0 equiv) and acetone **18k** (139 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 92% (367 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.93 (d, *J* = 16.3 Hz, 1H), 7.40–7.37 (m, 2H), 7.25 (dd, *J* = 8.3, 7.3 Hz, 1H), 7.17 (d, *J* = 3.2 Hz, 1H), 6.92 (d, *J* = 16.3 Hz, 1H), 6.76

(dd, *J* = 3.2, 0.9 Hz, 1H), 3.81 (s, 3H), 2.43 (s, 3H). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 198.9, 142.6, 137.2, 130.4, 127.7, 127.3, 126.5, 121.6, 120.5, 111.8, 99.6, 33.1, 27.6. **Anal. calcd. for** $C_{13}H_{13}NO$: C, 78.36; H, 6.58; N, 7.03; found: C, 78.49; H, 6.67; N, 7.09.

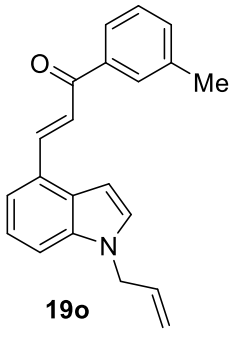
(*E*)-3-(1-allyl-1*H*-indol-4-yl)-1-(*p*-tolyl)prop-2-en-1-one (19n)



19n

The title compound **19n** was prepared from **17d** (370 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18b** (322 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 89% (536 mg). **^1H NMR (400 MHz, $CDCl_3$):** δ 8.30 (d, *J* = 15.7 Hz, 1H), 8.04–8.01 (m, 2H), 7.78 (d, *J* = 15.7 Hz, 1H), 7.55 (d, *J* = 7.3 Hz, 1H), 7.42 (d, *J* = 8.2 Hz, 1H), 7.34 (d, *J* = 7.8 Hz, 2H), 7.30–7.24 (m, 2H), 6.91 (dd, *J* = 3.2, 0.9 Hz, 1H), 6.01 (ddt, *J* = 17.1, 10.5, 5.4 Hz, 1H), 5.26–5.22 (m, 1H), 5.14–5.05 (m, 1H), 4.78–4.75 (m, 2H), 2.47 (s, 3H). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 190.3, 143.5, 143.4, 136.6, 136.0, 133.1, 129.4, 129.4, 128.7, 128.1, 127.3, 122.1, 121.7, 120.5, 117.6, 112.1, 100.3, 49.0, 21.8. **Anal. calcd. for** $C_{21}H_{19}NO$: C, 83.69; H, 6.35; N, 4.65; found: C, 83.87; H, 6.48; N, 4.78.

(*E*)-3-(1-allyl-1*H*-indol-4-yl)-1-(*m*-tolyl)prop-2-en-1-one (19o)

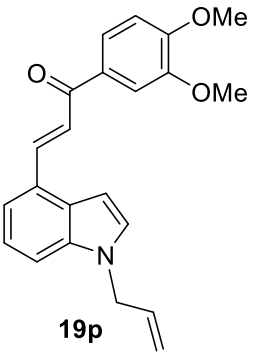


19o

The title compound **19o** was prepared from **17d** (370 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18h** (322 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15%

EtOAc/hexanes). Yield: 89% (536 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.23 (d, *J* = 15.8 Hz, 1H), 7.87–7.81 (m, 1H), 7.71 (d, *J* = 15.8 Hz, 1H), 7.51 (d, *J* = 7.3 Hz, 1H), 7.39 (dt, *J* = 5.7, 1.5 Hz, 3H), 7.25–7.21 (m, 2H), 6.86 (dd, *J* = 3.2, 0.9 Hz, 1H), 5.99 (ddt, *J* = 17.1, 10.5, 5.4 Hz, 1H), 5.23–5.19 (m, 1H), 5.10–5.05 (m, 1H), 4.77–4.74 (m, 2H), 2.44 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 190.9, 143.4, 138.4, 138.2, 136.4, 133.2, 132.9, 129.2, 128.9, 128.3, 127.9, 127.1, 125.5, 122.2, 121.4, 120.3, 117.4, 111.9, 100.1, 48.8, 21.3. **Anal. calcd. for** $C_{21}H_{19}NO$: C, 83.69; H, 6.35; N, 4.65; found: C, 83.93; H, 6.49; N, 4.73.

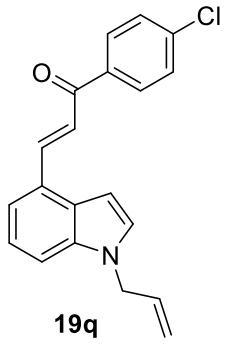
(*E*)-3-(1-allyl-1*H*-indol-4-yl)-1-(3,4-dimethoxyphenyl)prop-2-en-1-one (19p)



19p

The title compound **19p** was prepared from **17d** (370 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18l** (432 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 89% (618 mg). **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 8.24 (d, *J* = 15.8 Hz, 1H), 7.78–7.71 (m, 2H), 7.67 (d, *J* = 2.1 Hz, 1H), 7.51 (d, *J* = 7.3 Hz, 1H), 7.39 (d, *J* = 8.1 Hz, 1H), 7.29–7.22 (m, 3H), 6.95 (d, *J* = 8.5 Hz, 1H), 6.88 (dd, *J* = 3.2, 0.9 Hz, 1H), 6.01 (ddt, *J* = 17.2, 10.5, 5.4 Hz, 1H), 5.23–5.21 (m, 1H), 5.14 – 5.04 (m, 1H), 4.78–4.76 (m, 2H), 3.99 (s, 3H), 3.97 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.4, 153.5, 149.7, 143.4, 137.1, 133.6, 132.2, 129.7, 128.6, 127.9, 123.4, 122.4, 122.1, 120.8, 118.0, 112.4, 111.3, 110.5, 100.8, 56.5, 56.5, 49.5. **Anal. calcd. for** $C_{22}H_{21}NO_3$: C, 76.06; H, 6.09; N, 4.03; found: C, 76.24; H, 6.17; N, 4.10.

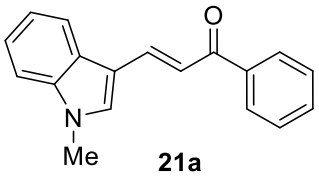
(*E*)-3-(1-allyl-1*H*-indol-4-yl)-1-(4-chlorophenyl)prop-2-en-1-one (19q)



19q

The title compound **19q** was prepared from **17d** (370 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18d** (371 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (5–15% EtOAc/hexanes). Yield: 90% (579 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.26 (d, *J* = 15.7 Hz, 1H), 8.03–7.10 (m, 2H), 7.68 (d, *J* = 15.7 Hz, 1H), 7.50 (t, *J* = 8.7 Hz, 3H), 7.42 (d, *J* = 8.4 Hz, 1H), 7.29–7.24 (m, 2H), 6.86 (d, *J* = 3.2 Hz, 1H), 6.01 (ddt, *J* = 17.1, 10.5, 5.3 Hz, 1H), 5.26–5.22 (m, 1H), 5.13–5.07 (m, 1H), 4.82–4.74 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.9, 144.8, 139.4, 137.4, 137.1, 133.5, 130.4, 129.9 129.3, 128.6, 127.5, 122.1, 122.0, 121.2, 118.1, 112.8, 100.7, 49.5. **Anal. calcd. for** $C_{20}H_{16}ClNO$: C, 74.65; H, 5.01; N, 4.35; found: C, 74.83; H, 5.08; N, 4.42.

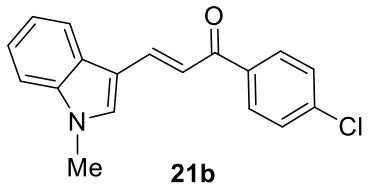
(*E*)-3-(1-methyl-1*H*-indol-3-yl)-1-phenylprop-2-en-1-one (21a)



21a

The title compound **21a** was prepared from **20a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18a** (288 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 87% (455 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.16–7.98 (m, 4H), 7.60–7.46 (m, 5H), 7.42–7.29 (m, 3H), 3.85 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 190.9, 139.3, 138.9, 138.4, 134.8, 132.3, 128.6, 128.4, 126.3, 123.3, 121.7, 121.0, 117.1, 113.1, 110.3, 33.5. **Anal. calcd. for** $C_{18}H_{15}NO$: C, 82.73; H, 5.79; N, 5.36; found: C, 82.95; H, 5.91; N, 5.45.

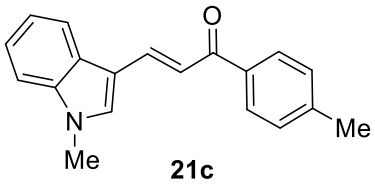
(*E*)-1-(4-chlorophenyl)-3-(1-methyl-1*H*-indol-3-yl)prop-2-en-1-one (21b)



21b

The title compound **21b** was prepared from **20a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18d** (371 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 89% (526 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** 8.09 (d, *J* = 15.5 Hz, 1H), 8.03–7.97 (m, 3H), 7.53–7.45 (m, 4H), 7.40–7.30 (m, 3H), 3.84 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.7, 139.7, 138.8, 138.7, 137.9, 135.4, 130.1, 129.2, 126.5, 123.7, 122.1, 121.2, 116.7, 113.4, 110.7, 33.8. **Anal. calcd. for** $C_{18}H_{14}ClNO$: C, 73.10; H, 4.77; Cl, 11.99; N, 4.74; found: C, 73.28; H, 4.71; N, 4.81.

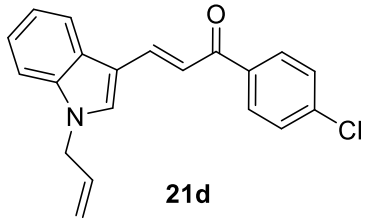
(*E*)-3-(1-methyl-1H-indol-3-yl)-1-(p-tolyl)prop-2-en-1-one (21c)



21c

The title compound **21c** was prepared from **20a** (318 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18b** (322 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 90% (495 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.08 (d, *J* = 15.5 Hz, 1H), 8.02 (dd, *J* = 6.2, 2.1 Hz, 1H), 7.99–7.96 (m, 1H), 7.56 (d, *J* = 15.6 Hz, 1H), 7.45 (s, 1H), 7.39–7.30 (m, 6H), 3.83 (s, 3H), 2.44 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 190.4, 143.0, 138.4, 138.3, 136.7, 134.6, 129.3, 128.5, 126.2, 123.2, 121.6, 120.9, 117.1, 113.1, 110.2, 33.4, 21.8. **Anal. calcd. for** $C_{19}H_{17}NO$: C, 82.88; H, 6.22; N, 5.09; found: C, 82.65; H, 6.09; N, 4.98.

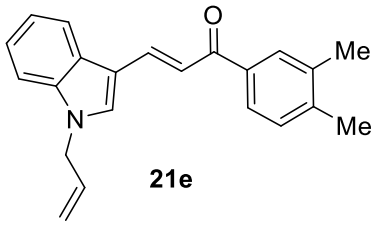
(*E*)-3-(1-allyl-1*H*-indol-3-yl)-1-(4-chlorophenyl)prop-2-en-1-one (21d)



21d

The title compound **21d** was prepared from **20b** (370 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18d** (371 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 90% (579 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.10 (d, *J* = 15.5 Hz, 1H), 8.00 (d, *J* = 8.7 Hz, 3H), 7.55–7.46 (m, 4H), 7.41–7.36 (m, 1H), 7.35–7.30 (m, 2H), 6.01 (ddt, *J* = 17.1, 10.8, 5.4 Hz, 1H), 5.31–5.27 (m, 1H), 5.20–5.14 (m, 1H), 4.77 (d, *J* = 5.5 Hz, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.8, 139.6, 138.9, 138.1, 137.8, 134.3, 132.6, 130.2, 129.2, 126.7, 123.7, 122.2, 121.3, 119.0, 117.0, 113.7, 111.1, 49.7. **Anal. calcd. for** $C_{20}H_{16}ClNO$: C, 74.65; H, 5.01; N, 4.35; found: C, 74.43; H, 5.07; N, 4.41.

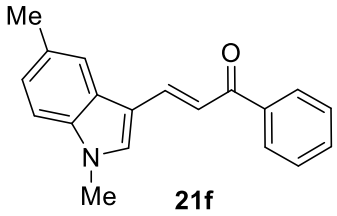
(*E*)-1-(3,4-dimethylphenyl)-3-(1-propyl-1*H*-indol-3-yl)prop-2-en-1-one (21e)



21e

The title compound **21e** was prepared from **20b** (370 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18j** (356 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 86% (545 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.09 (d, *J* = 15.5 Hz, 1H), 8.04–8.01 (m, 1H), 7.73 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.67 (d, *J* = 2.0 Hz, 1H), 7.59 (d, *J* = 15.5 Hz, 1H), 7.52 (s, 1H), 7.40–7.36 (m, 1H), 7.33–7.30 (m, 2H), 6.95 (d, *J* = 8.4 Hz, 1H), 6.01 (ddt, *J* = 17.1, 10.5, 5.4 Hz, 1H), 5.30–5.26 (m, 1H), 5.18–5.13 (m, 1H), 4.77–4.75 (m, 2H), 3.99 (s, 3H), 3.97 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.4, 153.1, 149.5, 138.2, 138.0, 133.7, 132.7, 132.6, 126.8, 123.5, 122.9, 122.0, 121.2, 118.8, 117.3, 113.8, 111.2, 111.0, 110.4, 56.5, 56.5, 49.6. **Anal. calcd. for** $C_{22}H_{23}NO$: C, 83.24; H, 7.30; N, 4.41; found: C, 83.48; H, 7.42; N, 4.50.

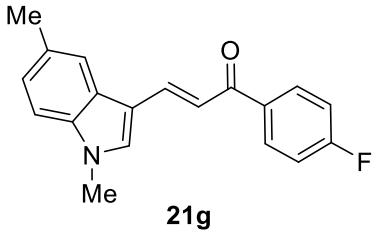
(*E*)-3-(1,5-Dimethyl-1*H*-indol-3-yl)-1-phenylprop-2-en-1-one (21f)



21f

The title compound **21f** was prepared from **20c** (346 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18a** (288 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid through silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 87% (479 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.08–8.04 (m, 3H), 7.79 (s, 1H), 7.59–7.55 (m, 1H), 7.54–7.51 (m, 2H), 7.49 (d, *J* = 5.7 Hz, 1H), 7.42 (s, 1H), 7.27–7.25 (m, 1H), 7.17 (dd, *J* = 8.4, 1.8 Hz, 1H), 3.80 (s, 3H), 2.55 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 191.5, 139.7, 139.5, 137.1, 135.2, 132.5, 131.6, 128.9, 128.7, 126.8, 125.1, 121.1, 117.2, 113.0, 110.3, 33.8, 22.2. **Anal. calcd. for** $C_{19}H_{17}NO$: C, 82.88; H, 6.22; N, 5.09; found: C, 83.06; H, 6.32; N, 5.17.

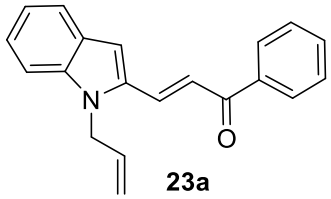
(*E*)-3-(1,5-dimethyl-1*H*-indol-3-yl)-1-(4-fluorophenyl)prop-2-en-1-one (21g)



21g

The title compound **21g** was prepared from **20c** (346 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18e** (331 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 88% (516 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.11–8.02 (m, 3H), 7.77 (s, 1H), 7.50–7.40 (m, 2H), 7.26 (t, *J* = 4.2 Hz, 1H), 7.18 (t, *J* = 8.7 Hz, 3H), 3.80 (s, 3H), 2.55 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.4, 165.3 (d, *J* = 252.8 Hz), 139.3, 136.8, 135.6 (d, *J* = 3.0 Hz), 135.0, 131.3, 130.8 (d, *J* = 9.1 Hz), 126.4, 124.9, 120.7, 116.2, 115.6 (d, *J* = 21.7 Hz), 112.6, 110.0, 33.4, 21.8. **Anal. calcd. for** $C_{19}H_{16}FNO$: C, 77.80; H, 5.50; N, 4.77; found: C, 77.64; H, 5.56; N, 4.80.

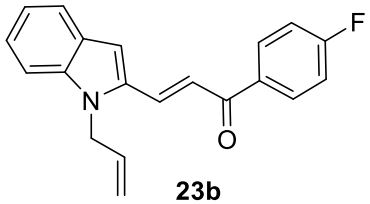
(*E*)-3-(1-allyl-1*H*-indol-2-yl)-1-phenylprop-2-en-1-one (23a)



23a

The title compound **23a** was prepared from **22** (370 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18a** (288 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 90% (517 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.05–7.99 (m, 2H), 7.91 (d, *J* = 15.4 Hz, 1H), 7.67 (s, 1H), 7.62–7.57 (m, 1H), 7.55–7.49 (m, 2H), 7.32–7.25 (m, 3H), 7.17–7.12 (m, 2H), 6.06–5.97 (m, 1H), 5.19 (dd, *J* = 10.4, 0.9 Hz, 1H), 4.97–4.89 (m, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.7, 139.1, 138.4, 135.5, 133.1, 133.0, 132.7, 128.8, 128.6, 128.3, 124.2, 122.0, 121.7, 120.9, 117.0, 110.1, 104.6, 45.7. **Anal. calcd. for** $C_{20}H_{17}NO$: C, 83.59; H, 5.96; N, 4.87; found: C, 83.76; H, 5.89; N, 4.95.

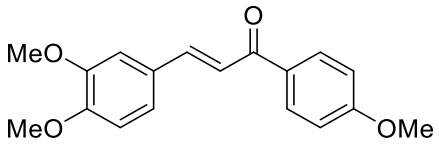
(*E*)-3-(1-allyl-1*H*-indol-2-yl)-1-(4-fluorophenyl)prop-2-en-1-one (23b)



23b

The title compound **23b** was prepared from **22** (370 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18e** (331 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 88% (537 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.09–8.06 (m, 1H), 7.91 (d, *J* = 15.4 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.59 (d, *J* = 15.4 Hz, 1H), 7.32–7.27 (m, 2H), 7.21–7.13 (m, 4H), 6.06–5.97 (m, 1H), 5.21–5.17 (m, 1H), 4.94–4.90 (m, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 188.3, 166.1 (d, *J* = 254.6 Hz), 139.4, 135.7, 135.0 (d, *J* = 3.0 Hz), 133.4, 133.2, 131.4 (d, *J* = 9.6 Hz), 128.0, 124.6, 122.1, 121.7, 121.3, 117.3, 116.2 (d, *J* = 23.0 Hz), 110.4, 105.1, 46.0. **Anal. calcd. for** $C_{20}H_{16}FNO$: C, 78.67; H, 5.28; N, 4.59; found: C, 78.89; H, 5.18; N, 4.66.

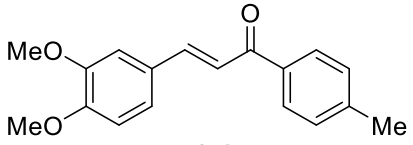
(*E*)-3-(3,4-dimethoxyphenyl)-1-(4-methoxyphenyl)prop-2-en-1-one (25a)



25a

The title compound **25a** was prepared from **24a** (332 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18c** (360 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 90% (537 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.01–7.99 (m, 2H), 7.72 (d, *J* = 15.6 Hz, 1H), 7.39 (d, *J* = 15.5 Hz, 1H), 7.19 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.13 (d, *J* = 2.0 Hz, 1H), 6.95–6.92 (m, 2H), 6.85 (d, *J* = 8.3 Hz, 1H), 3.92 (s, 3H), 3.88 (s, 3H), 3.84 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.0, 163.6, 151.5, 149.5, 144.5, 131.6, 131.1, 128.3, 123.3, 120.0, 114.1, 111.4, 110.3, 56.3, 56.3, 55.8. **Anal. calcd. for** $C_{18}H_{18}O_4$: C, 72.47; H, 6.08; found: C, 72.65; H, 6.16.

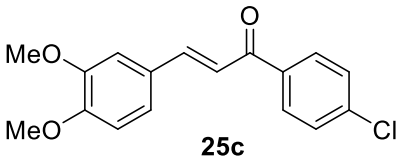
(*E*)-3-(3,4-dimethoxyphenyl)-1-(*p*-tolyl)prop-2-en-1-one (25b)



25b

The title compound **25b** was prepared from **24a** (332 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18b** (322 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 86% (485 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.94–7.91 (m, 2H), 7.75 (d, *J* = 15.6 Hz, 1H), 7.39 (d, *J* = 15.6 Hz, 1H), 7.30–7.27 (m, 2H), 7.22 (dd, *J* = 8.5, 2.1 Hz, 1H), 7.15 (d, *J* = 2.1 Hz, 1H), 6.88 (d, *J* = 8.4 Hz, 1H), 3.94 (s, 3H), 3.91 (s, 3H), 2.42 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 190.5, 151.7, 149.6, 144.9, 143.8, 136.2, 129.7, 129.0, 128.3, 123.5, 120.4, 111.5, 110.4, 56.4, 56.3, 22.1. **LRMS (ESI)** m/z calcd. for $C_{18}H_{19}O_3$ $[M + H]^+$: 283.1; found: 283.1. **Anal. calcd. for** $C_{18}H_{18}O_3$: C, 76.57; H, 6.43; found: C, 76.79; H, 6.54.

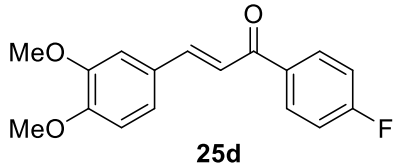
(*E*)-1-(4-chlorophenyl)-3-(3,4-dimethoxyphenyl)prop-2-en-1-one (25c)



25c

The title compound **25c** was prepared from **24a** (332 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18d** (371 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 89% (502 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.96–7.93 (m, 2H), 7.75 (d, *J* = 15.6 Hz, 1H), 7.47–7.44 (m, 2H), 7.33 (d, *J* = 15.6 Hz, 1H), 7.22 (dd, *J* = 8.4, 1.9 Hz, 1H), 7.14 (d, *J* = 2.0 Hz, 1H), 6.89 (d, *J* = 8.3 Hz, 1H), 3.94 (s, 3H), 3.92 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 189.0, 151.3, 149.0, 145.3, 138.7, 136.5, 129.6, 128.7, 128.6, 127.4, 123.2, 119.1, 110.8, 109.7, 55.8, 55.7. **Anal. calcd. for** $C_{17}H_{15}ClO_3$: C, 67.44; H, 4.99; found: C, 67.66; H, 4.91

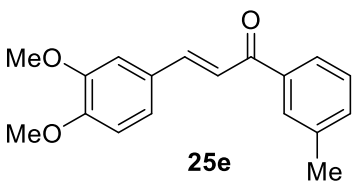
(*E*)-3-(3,4-dimethoxyphenyl)-1-(4-fluorophenyl)prop-2-en-1-one (25d)



25d

The title compound **25d** was prepared from **24a** (332 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18e** (331 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 90% (515 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.06–8.00 (m, 2H), 7.75 (d, *J* = 15.6 Hz, 1H), 7.35 (d, *J* = 15.6 Hz, 1H), 7.21 (ddd, *J* = 8.2, 2.1, 0.6 Hz, 1H), 7.18–7.12 (m, 3H), 6.88 (d, *J* = 8.4 Hz, 1H), 3.94 (s, 3H), 3.91 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 188.9, 165.5 (d, *J* = 253.0 Hz), 151.6, 149.3, 145.3, 134.8 (d, *J* = 3.0 Hz), 131.1 (d, *J* = 9.2 Hz), 127.2, 123.4, 119.5, 115.7 (d, *J* = 21.0 Hz), 111.1, 110.0, 56.1, 56.0. **Anal. calcd. for** $C_{17}H_{15}FO_3$: C, 71.32; H, 5.28; found: C, 71.54; H, 5.36.

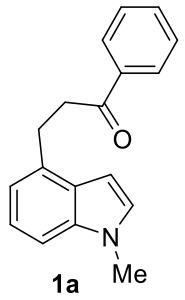
(*E*)-3-(3,4-dimethoxyphenyl)-1-(*m*-tolyl)prop-2-en-1-one (25e)



25e

The title compound **25e** was prepared from **24a** (332 mg, 2.0 mmol, 1.0 equiv) and acetophenone **18h** (322 mg, 2.4 mmol, 1.2 equiv) employing the **General Procedure A** and isolated as a yellow solid by silica gel column chromatography (10-20% EtOAc/hexanes). Yield: 89% (502 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.80–7.72 (m, 3H), 7.39–7.35 (m, 3H), 7.21 (dd, *J* = 8.7, 2.1 Hz, 1H), 7.14 (d, *J* = 2.1 Hz, 1H), 6.87 (d, *J* = 8.2 Hz, 1H), 3.93 (s, 3H), 3.90 (s, 3H), 2.42 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 191.1, 151.7, 149.6, 145.2, 138.8, 138.8, 133.7, 129.3, 128.8, 128.3, 126.0, 123.5, 120.5, 111.5, 110.5, 56.4, 56.3, 21.8. **Anal. calcd. for** $C_{18}H_{18}O_3$: C, 76.57; H, 6.43; found: C, 76.73; H, 6.58.

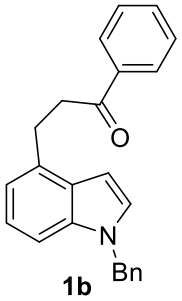
3-(1-Methyl-1*H*-indol-4-yl)-1-phenylpropan-1-one (1a)



1a

Following the general procedure B, the title compound **1a** was prepared using substrate **19a** (261 mg, 1.0 mmol, 1.0 equiv), Pd-C(26 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 87% (229 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.01–7.98 (m, 2H), 7.57 (t, *J* = 7.3 Hz, 1H), 7.46 (t, *J* = 7.5 Hz, 2H), 7.26–7.19 (m, 2H), 7.09 (d, *J* = 3.2 Hz, 1H), 7.03 (dd, *J* = 6.5, 1.5 Hz, 1H), 6.58 (dd, *J* = 3.2, 0.8 Hz, 1H), 3.81 (s, 3H), 3.48–3.44 (m, 2H), 3.40–3.36 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 200.2, 137.3, 137.1, 133.9, 133.4, 128.9, 128.9, 128.5, 128.0, 122.2, 119.1, 107.9, 99.5, 40.2, 33.4, 28.2. **LRMS (ESI)** m/z calcd. for $C_{18}H_{18}NO$ $[M + H]^+$: 264.1; found: 264.1. **Anal. calcd. for** $C_{18}H_{17}NO$: C, 82.10; H, 6.51; N, 5.32; found: C, 82.27; H, 6.59; N, 5.43.

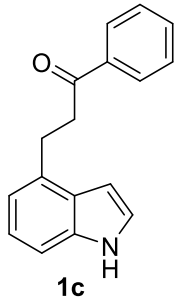
3-(1-Benzyl-1*H*-indol-4-yl)-1-phenylpropan-1-one (1b)



1b

To a mechanically stirred solution of compound **1c** (249 mg, 1.0 mmol, 1.0 equiv) in anhydrous DMF (5 mL), NaH (29 mg, 1.2 mmol, 1.2 equiv) was added in portions 0 ^{o}C and the resulting mixture was stirred for 10 minutes. Next, BnBr (171 mg, 1.0 mmol, 1.0 equiv) was added and the resulting mixture was stirred at rt for 2 hours. Upon completion, water (10 ml) was added and the organic phase was extracted with EtOAc (2x20 ml), washed with brined, dried over Na_2SO_4 and concentrated in vacuo. Purification via tsilica gel column chromatography afforded the product **1b** as an off-white semi solid. Yield: 83% (282 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.98–7.96 (m, 2H), 7.56–7.52 (m, 1H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.30–7.25 (m, 3H), 7.16–7.08 (m, 5H), 6.99 (d, *J* = 5.8 Hz, 1H), 6.60 (dd, *J* = 3.2, 0.9 Hz, 1H), 5.32 (s, 2H), 3.46–3.41 (m, 2H), 3.38–3.33 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 199.9, 137.6, 137.1, 136.4, 133.7, 133.1, 128.9, 128.7, 128.2, 128.1, 128.0, 127.7, 127.0, 122.1, 119.1, 108.1, 99.9, 50.4, 39.8, 27.9. **Anal. calcd. for** $C_{24}H_{21}NO$: C, 84.92; H, 6.24; N, 4.13; found: C, 85.18; H, 6.36; N, 4.21.

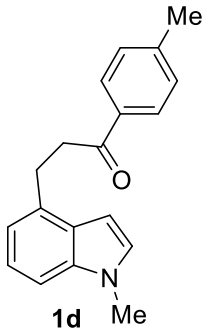
3-(1*H*-indol-4-yl)-1-phenylpropan-1-one (1c)



1c

Following the general procedure B, the title compound **1c** was prepared using substrate **19c** (247 mg, 1.0 mmol, 1.0 equiv), Pd-C(25 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 89% (221 mg). ^{1}H and ^{13}C NMR spectra are in accordance with literature report.[1]

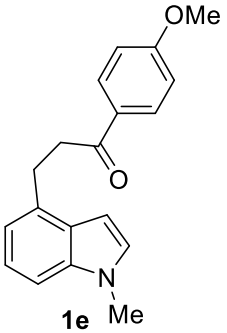
3-(1-Methyl-1*H*-indol-4-yl)-1-(*p*-tolyl)propan-1-one (1d)



1d

Following the general procedure B, the title compound **1d** was prepared using substrate **19d** (275 mg, 1.0 mmol, 1.0 equiv), Pd-C(27 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 88% (244 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.90–7.87 (m, 2H), 7.26–7.17 (m, 4H), 7.07 (d, *J* = 3.2 Hz, 1H), 7.01 (dd, *J* = 7.1, 1.0 Hz, 1H), 6.55 (dd, *J* = 3.2, 0.8 Hz, 1H), 3.81 (s, 3H), 3.43–3.39 (m, 2H), 3.36–3.32 (m, 2H), 2.41 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 199.6, 143.8, 136.8, 134., 133.7, 129.4, 128.6, 128.3, 127.7, 121.9, 118.8, 107.6, 99.2, 39.8, 33.1, 28.0, 21.8. **Anal. calcd. for** $C_{19}H_{19}NO$: C, 82.28; H, 6.90; N, 5.05; found: C, 82.45; H, 6.98; N, 5.13.

1-(4-Methoxyphenyl)-3-(1-methyl-1*H*-indol-4-yl)propan-1-one (1e)

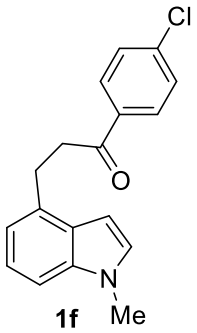


1e

Following the general procedure B, the title compound **1e** was prepared using substrate **19e** (291 mg, 1.0 mmol, 1.0 equiv), Pd-C(29 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 90% (264 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.98–7.94 (m, 2H), 7.23–7.17 (m, 2H), 7.07 (d, *J* = 3.1 Hz, 1H), 7.00 (d, *J* = 8.1 Hz, 1H), 6.92 (d, *J* = 9.0 Hz, 2H), 6.55 (d, *J* = 3.2 Hz, 1H), 3.86 (s, 3H), 3.80 (s, 3H), 3.40–3.31 (m, 4H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 198.5, 163.5, 136.8, 133.8, 130.5, 130.2, 128.6,

121.9, 118.8, 113.8, 113.8, 107.6, 99.2, 55.6, 39.6, 33.1, 28.1. **Anal. calcd. for** $C_{19}H_{19}NO_2$: C, 77.79; H, 6.53; N, 4.77; found: C, 77.97; H, 6.47; N, 4.82.

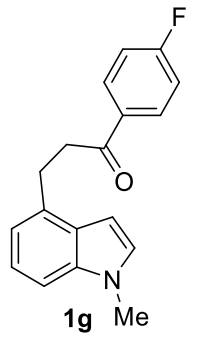
1-(4-Chlorophenyl)-3-(1-methyl-1*H*-indol-4-yl)propan-1-one (1f)



1f

Following the general procedure B, the title compound **1f** was prepared using substrate **19f** (296 mg, 1.0 mmol, 1.0 equiv), Pd-C(30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 89% (265 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.91–9.87 (m, 2H), 7.43–7.39 (m, 2H), 7.23–7.18 (m, 2H), 7.08 (d, *J* = 3.1 Hz, 1H), 6.98 (d, *J* = 6.9 Hz, 1H), 6.53 (dd, *J* = 3.1, 0.9 Hz, 1H), 3.80 (s, 3H), 3.42–3.31 (m, 4H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 198.7, 139.5, 136.8, 135.3, 133.4, 129.6, 129.0, 128.7, 127.7, 121.9, 118.8, 107.7, 99.1, 39.8, 33.2, 27.8. **Anal. calcd. for** $C_{18}H_{16}ClNO$: C, 72.60; H, 5.42; N, 4.70; found: C, 72.82; H, 5.54; N, 4.78.

1-(4-Fluorophenyl)-3-(1-methyl-1*H*-indol-4-yl)propan-1-one (1g)

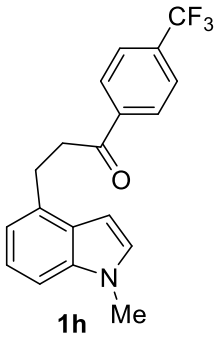


1g

Following the general procedure B, the title compound **1g** was prepared using substrate **19g** (279 mg, 1.0 mmol, 1.0 equiv), Pd-C(28 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 91% (256 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.02–7.97 (m, 2H), 7.25–7.17 (m, 2H), 7.13–7.08 (m, 3H), 7.00 (dd, *J* = 6.8, 1.4 Hz, 1H),

6.55 (dd, *J* = 3.1, 0.9 Hz, 1H), 3.81 (s, 3H), 3.44–3.39 (m, 2H), 3.37–3.33 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 198.1, 165.6 (d, *J* = 253.0 Hz), 136.6, 133.3 (d, *J* = 3.9 Hz), 130.6 (d, *J* = 9.2 Hz), 128.5, 127.5, 121.7, 118.6, 115.5 (d, *J* = 21.7 Hz), 107.5, 98.9, 39.5, 32.9, 27.6. **LRMS (ESI)** m/z calcd. for $C_{18}H_{17}FNO$ $[M + H]^+$: 282.1; found: 282.1. **Anal. calcd. for** $C_{18}H_{16}FNO$: C, 76.85; H, 5.73; N, 4.98; found: C, 76.69; H, 5.68; N, 4.89.

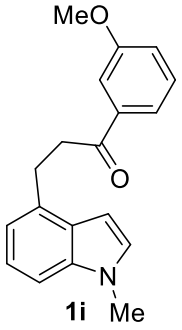
3-(1-Methyl-1*H*-indol-4-yl)-1-(4-(trifluoromethyl)phenyl)propan-1-one (1h)



1h

Following the general procedure B, the title compound **1h** was prepared using substrate **19h** (329 mg, 1.0 mmol, 1.0 equiv), Pd-C(33 mg, 10 wt%) and Ph_2S (8.0 µL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 86% (285 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.06–8.03 (m, 2H), 7.72–7.67 (m, 2H), 7.25–7.15 (m, 2H), 7.08 (d, *J* = 3.2 Hz, 1H), 6.99 (d, *J* = 8.0 Hz, 1H), 6.53 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.81 (s, 3H), 3.47–3.43 (m, 2H), 3.38–3.34 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 198.9, 139.6, 136.8, 134.3 (q, *J* = 33.0 Hz), 133.1, 128.7, 128.5, 127.6, 125.7 (q, *J* = 4.0 Hz), 123.7 (q, *J* = 271.0 Hz), 121.9, 118.8, 107.8, 99.0, 40.1, 33.1, 27.7. **Anal. calcd. for** $C_{19}H_{16}F_3NO$: C, 68.87; H, 4.87; N, 4.23; found: C, 69.10; H, 4.95; N, 4.31.

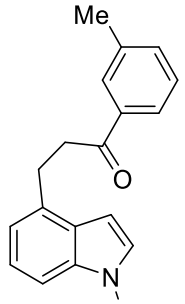
1-(3-Methoxyphenyl)-3-(1-methyl-1*H*-indol-4-yl)propan-1-one (1i)



1i

Following the general procedure B, the title compound **1i** was prepared using substrate **19i** (291 mg, 1.0 mmol, 1.0 equiv), Pd-C(30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 91% (267 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.55 (d, *J* = 7.7 Hz, 1H), 7.51 (dd, *J* = 2.7, 1.5 Hz, 1H), 7.35 (t, *J* = 7.9 Hz, 1H), 7.24–7.17 (m, 2H), 7.11–7.08 (m, 2H), 7.01 (d, *J* = 6.8 Hz, 1H), 6.55 (d, *J* = 3.1 Hz, 1H), 3.84 (s, 3H), 3.81 (s, 3H), 3.45–3.40 (m, 2H), 3.37–3.31 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 200.0, 160.2, 138.7, 137.1, 133.9, 123.0, 129.0, 128.0, 122.2, 121.2, 120.0, 119.1, 112.6, 108.0, 99.5, 55.8, 40.3, 33.4, 28.2. **Anal. calcd. for** $C_{19}H_{19}NO_2$: C, 77.79; H, 6.53; N, 4.77; found: C, 77.96; H, 6.69; N, 4.86.

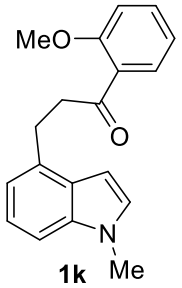
3-(1-Methyl-1*H*-indol-4-yl)-1-(*m*-tolyl)propan-1-one (1j)



1j

Following the general procedure B, the title compound **1j** was prepared using substrate **19j** (275 mg, 1.0 mmol, 1.0 equiv), Pd-C(27 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 89% (247 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.79–7.76 (m, 2H), 7.38–7.32 (m, 2H), 7.24–7.17 (m, 2H), 7.08 (d, *J* = 3.2 Hz, 1H), 7.01 (d, *J* = 6.0 Hz, 1H), 6.56 (dd, *J* = 3.2, 0.9 Hz, 1H), 3.81 (s, 3H), 3.45–3.40 (m, 2H), 3.37–3.33 (m, 2H), 2.40 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 200.1, 138.4, 137.0, 136.8, 133.8, 133.7, 128.8, 128.6, 128.6, 127.7, 125.4, 121.9, 118.8, 107.6, 99.2, 40.0, 33.1, 27.9, 21.5. **Anal. calcd. for** $C_{19}H_{19}NO$: C, 82.28; H, 6.90; N, 5.05; found: C, 82.51; H, 6.83; N, 5.12.

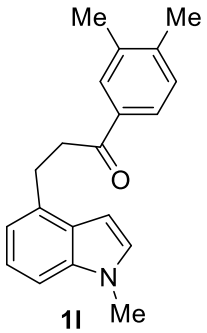
1-(2-Methoxyphenyl)-3-(1-methyl-1*H*-indol-4-yl)propan-1-one (1k)



1k

Following the general procedure B, the title compound **1k** was prepared using substrate **19k** (291 mg, 1.0 mmol, 1.0 equiv), Pd-C (29 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 87% (255 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.74 (dd, *J* = 7.7, 1.8 Hz, 1H), 7.47 (ddd, *J* = 8.4, 7.3, 1.8 Hz, 1H), 7.24–7.17 (m, 2H), 7.07 (d, *J* = 3.2 Hz, 1H), 7.04–6.99 (m, 2H), 6.96 (d, *J* = 8.4 Hz, 1H), 6.58 (dd, *J* = 3.1, 0.8 Hz, 1H), 3.86 (s, 3H), 3.80 (s, 3H), 3.48–3.44 (m, 2H), 3.35–3.31 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 202.8, 158.9, 137.0, 134.4, 133.7, 130.8, 128.9, 128.8, 128.2, 122.1, 121.0, 119.0, 111.9, 107.7, 99.6, 55.9, 45.0, 33.4, 28.5. **Anal. calcd. for** $C_{19}H_{19}NO_2$: C, 77.79; H, 6.53; N, 4.77; found: C, 77.61; H, 6.61; N, 4.87.

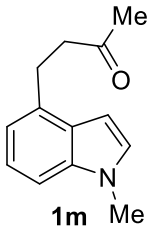
1-(3,4-Dimethylphenyl)-3-(1-methyl-1*H*-indol-4-yl)propan-1-one (1l)



1l

Following the general procedure B, the title compound **1l** was prepared using substrate **19l** (289 mg, 1.0 mmol, 1.0 equiv), Pd-C (29 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 90% (262 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.75–7.70 (m, 2H), 7.24–7.17 (m, 3H), 7.07 (d, *J* = 3.1 Hz, 1H), 7.00 (dd, *J* = 6.3, 1.0 Hz, 1H), 6.55 (d, *J* = 2.4 Hz, 1H), 3.81 (s, 3H), 3.43–3.39 (m, 2H), 3.36–3.31 (m, 2H), 2.31 (s, 3H), 2.30 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 199.8, 142.6, 137.0, 135.0 133.8, 129.9, 129.4, 128.6, 127.7, 125.9, 121.9, 118.8, 107.6, 99.2, 39.8, 33.1, 28.0, 20.1, 19.9. **Anal. calcd. for** $C_{20}H_{21}NO$: C, 82.44; H, 7.26; N, 4.81; found: C, 82.67; H, 7.40; N, 4.92.

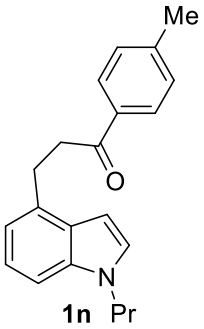
4-(1-Methyl-1*H*-indol-4-yl)butan-2-one (1m)



1m

Following the general procedure B, the title compound **1m** was prepared using substrate **19m** (199 mg, 1.0 mmol, 1.0 equiv), Pd-C(30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 88% (177 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.24–7.17 (m, 2H), 7.08 (d, *J* = 3.1 Hz, 1H), 6.95 (dd, *J* = 6.7, 1.4 Hz, 1H), 6.53 (dd, *J* = 3.1, 0.9 Hz, 1H), 3.80 (s, 3H), 3.23–3.19 (m, 2H), 2.93–2.89 (m, 2H), 2.17 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 208.3, 136.4, 132.8, 128.2, 127.2, 121.5, 118.3, 107.2, 98.7, 44.2, 32.7, 29.8, 27.1. **Anal. calcd. for** $C_{13}H_{15}NO$: C, 77.58; H, 7.51; N, 6.96; found: C, 77.35; H, 7.44; N, 6.86.

3-(1-propyl-1*H*-indol-4-yl)-1-(*p*-tolyl)propan-1-one (1n)

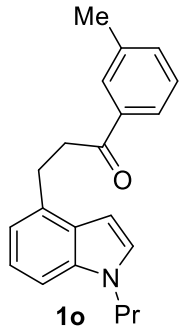


1n

Following the general procedure B, the title compound **1n** was prepared using substrate **19n** (303 mg, 1.0 mmol, 1.0 equiv), Pd-C (30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 85% (259 mg). **^{1}H NMR (400 MHz, $CDCl_3$):**δ 7.89–7.87 (m, 2H), 7.24 (d, *J* = 9.4 Hz, 3H), 7.17–7.13 (m, 1H), 7.12 (d, *J* = 3.2 Hz, 1H), 6.98 (d, *J* = 7.1 Hz, 1H), 6.54 (d, *J* = 3.1 Hz, 1H), 4.09 (t, *J* = 7.0 Hz, 2H), 3.43–3.31 (m, 4H), 2.40 (s, 3H), 1.93–1.83 (m, 2H), 0.95 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 199.3, 143.5, 135.8, 134.3, 133.5, 129.0, 128.0, 127.5, 127.4, 121.4, 118.4, 107.5, 98.8, 48.1, 39.5, 27.7,

23.4, 21.5, 11.4. **Anal. calcd. for** $C_{21}H_{23}NO$: C, 82.58; H, 7.59; N, 4.59; found: C, 82.81; H, 7.73; N, 4.68.

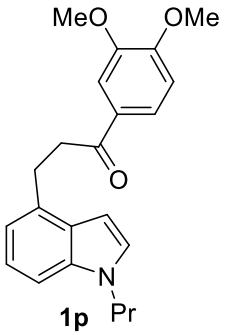
3-(1-Propyl-1*H*-indol-4-yl)-1-(*m*-tolyl)propan-1-one (1o)



1o

Following the general procedure B, the title compound **1o** was prepared using substrate **19o** (303 mg, 1.0 mmol, 1.0 equiv), Pd-C (30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 91% (278 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.76 (d, *J* = 7.8 Hz, 2H), 7.36–7.29 (m, 2H), 7.23 (d, *J* = 8.0 Hz, 1H), 7.17–7.10 (m, 2H), 6.98 (d, *J* = 7.0 Hz, 1H), 6.54 (dd, *J* = 3.1, 0.9 Hz, 1H), 4.08 (t, *J* = 7.0 Hz, 2H), 3.44–3.39 (m, 2H), 3.35–3.31 (m, 2H), 2.38 (s, 3H), 1.90–1.84 (m, 2H), 0.94 (t, *J* = 7.4 Hz, 4H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 200.5, 138.7, 137.4, 134.2, 134.1, 129.1, 128.9, 128.2, 128.1, 125.7, 122.0, 119.0, 108.1, 99.4, 48.7, 40.3, 28.3, 24.0, 21.8, 12.0. **LRMS (ESI)** m/z calcd. for $C_{21}H_{24}NO$ $[M + H]^+$: 306.2; found: 306.2. **Anal. calcd. for** $C_{21}H_{23}NO$: C, 82.58; H, 7.59; N, 4.59; found: C, 82.74; H, 7.65; N, 4.67.

1-(3,4-Dimethoxyphenyl)-3-(1-propyl-1*H*-indol-4-yl)propan-1-one (1p)

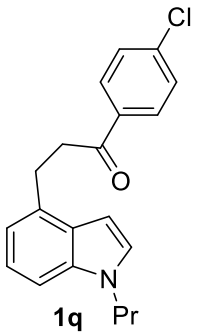


1p

Following the general procedure B, the title compound **1p** was prepared using substrate **19p** (349 mg, 1.0 mmol, 1.0 equiv), Pd-C (35 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10%

EtOAc/hexanes). Off-white solid. Yield: 86% (302 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.59 (dd, *J* = 8.4, 2.1 Hz, 1H), 7.55 (d, *J* = 2.1 Hz, 1H), 7.25 (d, *J* = 8.2 Hz, 1H), 7.17 (dd, *J* = 8.3, 7.0 Hz, 1H), 7.12 (d, *J* = 3.2 Hz, 1H), 6.99 (d, *J* = 7.1 Hz, 1H), 6.86 (d, *J* = 8.5 Hz, 1H), 6.56 (dd, *J* = 3.2, 0.9 Hz, 1H), 4.09 (t, *J* = 7.1 Hz, 2H), 3.94 (s, 3H), 3.92 (s, 3H), 3.44 – 3.31 (m, 4H), 1.88 (h, *J* = 7.4 Hz, 2H), 0.95 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 198.9, 153.5, 149.3, 136.4, 134.1, 130.6, 128.1, 128.1, 123.1, 122.0, 119.0, 110.5, 110.4, 108.1, 99.4, 56.5, 56.4, 48.6, 39.7, 28.5, 23.9, 12.0. **Anal. calcd. for** $C_{22}H_{25}NO_3$: C, 75.19; H, 7.17; N, 3.99; found: C, 75.43; H, 7.25; N, 4.09.

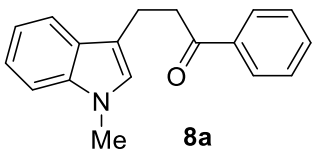
1-(4-Chlorophenyl)-3-(1-propyl-1*H*-indol-4-yl)propan-1-one (1q)



1q

Following the general procedure B, the title compound **1q** was prepared using substrate **19q** (324 mg, 1.0 mmol, 1.0 equiv), Pd-C (32 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 87% (283 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.91– 7.87 (m, 2H), 7.42–7.38 (m, 2H), 7.25–7.23 (m, 1H), 7.17–7.12 (m, 2H), 6.99–6.96 (m, 1H), 6.52 (d, *J* = 3.2 Hz, 1H), 4.09 (t, *J* = 7.0 Hz, 2H), 3.45–3.31 (m, 4H), 1.92–1.81 (m, 2H), 0.93 (t, *J* = 6.8 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 199.1, 139.8, 136.4, 133.7, 130.1, 130.0, 129.3, 129.2, 128.2, 122.0, 119.0, 108.3, 99.3, 48.7, 40.2, 28.2, 24.0, 12.0. **Anal. calcd. for** $C_{20}H_{20}ClNO$: C, 73.72; H, 6.19; N, 4.30; found: C, 73.55; H, 6.28; N, 4.36.

3-(1-Methyl-1*H*-indol-3-yl)-1-phenylpropan-1-one (8a)

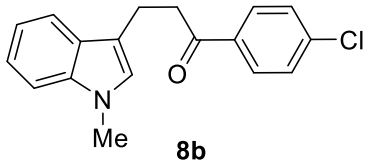


8a

Following the general procedure B, the title compound **8a** was prepared using substrate **21a** (261mg, 1.0 mmol, 1.0 equiv), Pd-C (26 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01

equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 90% (237 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** 7.99–7.96 (m, 2H), 7.63 (d, *J* = 7.8 Hz, 1H), 7.58–7.52 (m, 1H), 7.45 (t, *J* = 7.5 Hz, 2H), 7.30 (d, *J* = 8.2 Hz, 1H), 7.24 (d, *J* = 1.1 Hz, 1H), 7.13 (ddd, *J* = 8.0, 6.9, 1.1 Hz, 1H), 6.92 (s, 1H), 3.75 (s, 3H), 3.42–3.35 (m, 2H), 3.25–3.18 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 200.1, 137.1, 137.1, 133.1, 128.7, 128.2, 127.7, 126.6, 121.7, 118.9, 118.8, 114.0, 109.4, 39.7, 32.7, 19.7. **LRMS (ESI) m/z calcd.** for $C_{18}H_{18}NO$ $[M + H]^+$: 264.1; found: 264.2. **Anal. calcd. for** $C_{18}H_{17}NO$: C, 82.10; H, 6.51; N, 5.32; found: C, 82.33; H, 6.59; N, 5.41.

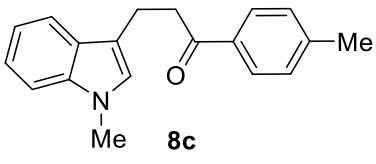
1-(4-Chlorophenyl)-3-(1-methyl-1*H*-indol-3-yl)propan-1-one (8b)



8b

Following the general procedure B, the title compound **8b** was prepared using substrate **21b** (296mg, 1.0 mmol, 1.0 equiv), Pd-C(30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white solid. Yield: 92% (274 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** 7.92–7.88 (m, 2H), 7.63 (dt, *J* = 7.9, 1.0 Hz, 1H), 7.44–7.40 (m, 2H), 7.31 (d, *J* = 8.1 Hz, 1H), 7.28–7.23 (m, 1H), 7.14 (ddd, *J* = 8.0, 6.9, 1.1 Hz, 1H), 6.91 (s, 1H), 3.75 (s, 3H), 3.38–3.32 (m, 2H), 3.23–3.20 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 198.8, 139.5, 137.1, 135.4, 129.6, 129.0, 127.7, 126.6, 121.7, 118.9, 118.8, 113.8, 109.4, 39.7, 32.7, 19.6. **Anal. calcd. for** $C_{18}H_{16}ClNO$: C, 72.60; H, 5.42; N, 4.70; found: C, 72.83; H, 5.39; N, 4.78.

3-(1-Methyl-1*H*-indol-3-yl)-1-(*p*-tolyl)propan-1-one (8c)

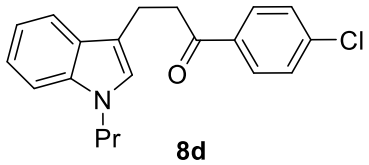


8c

Following the general procedure B, the title compound **8c** was prepared using substrate **21c** (275 mg, 1.0 mmol, 1.0 equiv), Pd-C (27 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 88% (244 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.91–7.88 (m, 2H), 7.65 (d, *J* = 7.9 Hz, 1H), 7.31 (d, *J* = 7.3 Hz, 1H), 7.27–7.23 (m, 3H),

7.14 (t, *J* = 8.0 Hz, 1H), 6.92 (s, 1H), 3.75 (s, 3H), 3.39–3.35 (m, 2H), 3.24–3.20 (m, 2H), 2.42 (s, 3H). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 199.4, 143.5, 136.8, 134.3, 129.0, 127.9, 127.4, 126.2, 121.3, 118.6, 118.5, 113.8, 109.0, 39.3, 32.4, 21.4, 19.4. **LRMS (ESI) m/z calcd.** for $C_{19}H_{20}NO$ $[M + H]^+$: 278.1; found: 278.1. **Anal. calcd. for** $C_{19}H_{19}NO$: C, 82.28; H, 6.90; N, 5.05; found: C, 82.45; H, 6.98; N, 5.14.

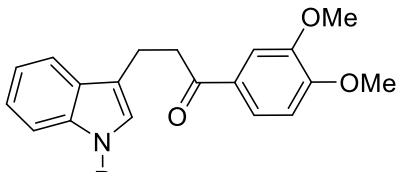
1-(4-Chlorophenyl)-3-(1-propyl-1*H*-indol-3-yl)propan-1-one (8d)



8d

Following the general procedure B, the title compound **1d** was prepared using substrate **21d** (324 mg, 1.0 mmol, 1.0 equiv), Pd-C (32 mg, 10 wt%) and Ph_2S (8.0 µL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 87% (283 mg). **^1H NMR (400 MHz, $CDCl_3$):** δ 7.91–7.87 (m, 2H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.42–7.39 (m, 2H), 7.32 (d, *J* = 8.1 Hz, 1H), 7.21 (ddd, *J* = 8.2, 7.0, 1.1 Hz, 1H), 7.14–7.06 (m, 1H), 6.94 (s, 1H), 4.02 (t, *J* = 7.1 Hz, 2H), 3.34 (t, *J* = 7.0 Hz, 2H), 3.24–3.13 (m, 2H), 1.87–1.78 (m, 2H), 0.91 (t, *J* = 7.4 Hz, 3H). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 198.6, 139.2, 136.1, 135.1, 129.3, 128.7, 127.4, 125.4, 121.2, 118.6, 118.5, 113.3, 109.3, 47.7, 39.4, 23.41 19.5, 11.4. **LRMS (ESI) m/z calcd.** for $C_{20}H_{21}ClNO$ $[M + H]^+$: 326.2; found: 326.2. **Anal. calcd. for** $C_{20}H_{20}ClNO$: C, 73.72; H, 6.19; N, 4.30; found: C, 73.93; H, 6.27; N, 4.40.

1-(3,4-Dimethoxyphenyl)-3-(1-propyl-1*H*-indol-3-yl)propan-1-one (8e)

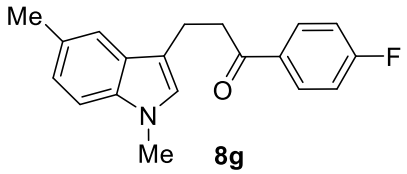


8e

Following the general procedure B, the title compound **8e** was prepared using substrate **21e** (349 mg, 1.0 mmol, 1.0 equiv), Pd-C (35 mg, 10 wt%) and Ph_2S (8.0 µL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 89% (312 mg). **^1H NMR (400 MHz, $CDCl_3$):** δ 7.64 (d, *J* = 7.8 Hz, 1H), 7.58 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.51 (d, *J* = 2.0 Hz, 1H), 7.33–7.30

(m, 1H), 7.23–7.19 (m, 1H), 7.13–7.09 (m, 1H), 6.95 (s, 1H), 6.85 (d, *J* = 8.5 Hz, 1H), 4.02 (t, *J* = 7.1 Hz, 2H), 3.93 (s, 3H), 3.90 (s, 3H), 3.36–3.32 (m, 2H), 3.23–3.19 (m, 2H), 1.88–1.78 (m, 2H), 0.91 (t, *J* = 7.4 Hz, 3H). **$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$):** δ 198.8, 153.2, 149.0, 136.5, 130.4, 127.8, 125.6, 122.7, 121.5, 119.0, 118.7, 113.9, 110.2, 110.0, 109.6, 56.1, 56.0, 47.9, 39.3, 23.7, 20.2, 11.7. **Anal. calcd. for** $C_{22}H_{25}NO_3$: C, 75.19; H, 7.17; N, 3.99; found: C, 75.42; H, 7.28; N, 4.08.

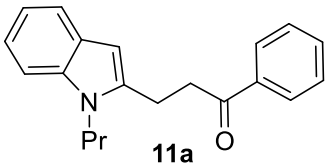
3-(1,5-Dimethyl-1*H*-indol-3-yl)-1-(4-fluorophenyl)propan-1-one (8g)



8g

Following the general procedure B, the title compound **8g** was prepared using substrate **21g** (293 mg, 1.0 mmol, 1.0 equiv), Pd-C (29 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 85% (251 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.02–7.97 (m, 2H), 7.39–7.38 (m, 1H), 7.19 (d, *J* = 8.2 Hz, 1H), 7.15–7.08 (m, 2H), 7.06 (dd, *J* = 8.4, 1.7 Hz, 1H), 6.86 (s, 1H), 3.71 (s, 3H), 3.34 (t, *J* = 7.9 Hz, 2H), 3.21–3.15 (m, 2H), 2.47 (s, 3H). **$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$):** δ 198.5, 165.8 (d, *J* = 252.0 Hz), 135.6, 133.6 (d, *J* = 3.2 Hz), 130.8 (d, *J* = 9.2 Hz), 128.1, 127.9, 126.7, 123.3, 118.5, 115.7 (d, *J* = 21.8 Hz), 113.3, 109.1, 39.8, 32.8, 21.6, 19.7. **Anal. calcd. for** $C_{19}H_{18}FNO$: C, 77.27; H, 6.14; N, 4.74; found: C, 77.44; H, 6.23; N, 4.68.

1-Phenyl-3-(1-propyl-1*H*-indol-2-yl)propan-1-one (11a)

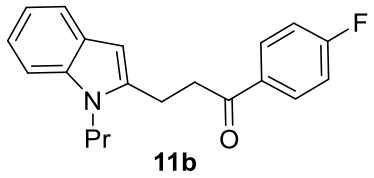


11a

Following the general procedure B, the title compound **11a** was prepared using substrate **23a** (289 mg, 1.0 mmol, 1.0 equiv), Pd-C (30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 90% (262 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.05–8.03 (m, 2H), 7.63–7.58 (m, 1H), 7.55–7.48 (m, 3H), 7.31 (dd, *J* = 8.1, 0.9 Hz, 1H),

7.16 (ddd, *J* = 8.2, 7.0, 1.3 Hz, 1H), 7.07 (ddd, *J* = 8.0, 7.1, 1.0 Hz, 1H), 6.30 (d, *J* = 0.9 Hz, 1H), 4.10 (t, *J* = 7.6 Hz, 2H), 3.52–3.44 (m, 2H), 3.12 (t, *J* = 8.0 Hz, 2H), 1.87–1.78 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 198.6, 139.4, 136.5, 136.5, 133.1, 128.5, 127.9, 127.7, 120.5, 119.6, 119.1, 109.0, 98.2, 44.6, 37.1, 23.3, 20.7, 11.3. **Anal. calcd. for** $C_{20}H_{21}NO$: C, 82.44; H, 7.26; N, 4.81; found: C, 82.62; H, 7.20; N, 4.88.

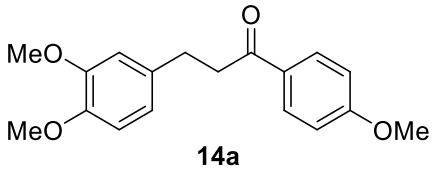
1-(4-Fluorophenyl)-3-(1-propyl-1*H*-indol-2-yl)propan-1-one (11b)



11b

Following the general procedure B, the title compound **11b** was prepared using substrate **23b** (307 mg, 1.0 mmol, 1.0 equiv), Pd-C (31 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 91% (282 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.08–8.03 (m, 2H), 7.54 (d, *J* = 7.8 Hz, 1H), 7.30 (d, *J* = 8.1 Hz, 1H), 7.19–7.14 (m, 3H), 7.07 (ddd, *J* = 7.9, 7.0, 1.0 Hz, 1H), 6.28 (s, 1H), 4.10 (t, *J* = 8.0 Hz,2H), 3.48–3.44 (m, 2H), 3.22–3.18 (m, 2H), 1.87–1.78 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 197.6, 166.3 (d, *J* = 253.0 Hz), 139.9, 137.2, 133.6 (d, *J* = 3.0 Hz), 131.1 (d, *J* = 9.6 Hz), 128.3, 121.2, 120.3, 119.7, 116.3 (d, *J* = 23.0 Hz), 109.7, 98.9, 45.2, 37.7, 23.9, 21.3, 12.0. **LRMS (ESI)** m/z calcd. for $C_{20}H_{21}FNO$ $[M + H]^+$: 310.1; found: 310.1. **Anal. calcd. for** $C_{20}H_{20}FNO$: C, 77.64; H, 6.52; N, 4.53; found: C, 77.32; H, 6.40; N, 4.42.

3-(3,4-Dimethoxyphenyl)-1-(4-methoxyphenyl)propan-1-one (14a)

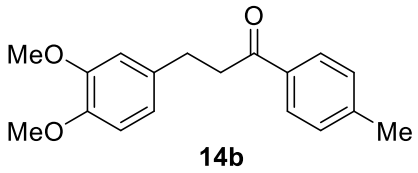


14a

Following the general procedure B, the title compound **14a** was prepared using substrate **25a** (298 mg, 1.0 mmol, 1.0 equiv), Pd-C (30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 91% (273 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.95–7.91 (m, 2H), 6.93–6.89 (m, 2H), 6.80–6.76 (m, 3H), 3.85–3.83 (m, 9H, 3 methoxy

groups are overlapped together), 3.24–3.19 (m, 2H), 3.01–2.97 (m, 2H). **$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$):** δ 198.3, 163.8, 149.2, 147.7, 134.5, 130.7, 130.4, 120.5, 114.1, 112.2, 111.7, 56.3, 56.2, 55.8, 40.7, 30.4. **Anal. calcd. for** $C_{18}H_{20}O_4$: C, 71.98; H, 6.71; found: C, 71.81; H, 6.83.

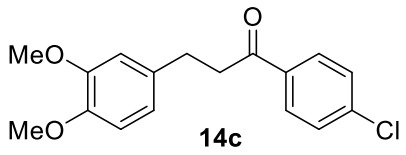
3-(3,4-Dimethoxyphenyl)-1-(*p*-tolyl)propan-1-one (14b)



14b

Following the general procedure B, the title compound **14b** was prepared using substrate **25b** (282 mg, 1.0 mmol, 1.0 equiv), Pd-C (28 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 87% (247 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.87–7.84 (m, 2H), 7.26–7.24 (m, 2H), 6.80–6.77 (m, 3H), 3.87 (s, 3H), 3.86 (s, 3H), 3.28–3.24 (m, 2H), 3.02–2.98 (m, 2H), 2.40 (s, 3H). **$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$):** δ 199.5, 149.3, 147.7, 144.3, 134.8, 134.4, 129.7, 129.7, 128.6, 120.6, 112.2, 111.7, 56.3, 56.2, 41.0, 30.3, 22.1. **LRMS (ESI)** m/z calcd. for $C_{18}H_{21}O_3$ $[M + H]^+$: 285.1; found: 285.1. **Anal. calcd. for** $C_{18}H_{20}O_3$: C, 76.03; H, 7.09; found: C, 76.26; H, 7.21.

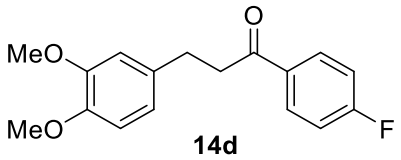
1-(4-Chlorophenyl)-3-(3,4-dimethoxyphenyl)propan-1-one (14c)



14c

Following the general procedure B, the title compound **14c** was prepared using substrate **25c** (303 mg, 1.0 mmol, 1.0 equiv), Pd-C (30 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 90% (274 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.88–7.85 (d, *J* = 8.8 Hz, 2H), 7.41–7.39 (d, *J* = 8.8 Hz, 2H), 6.80–6.74 (m, 3H), 3.85 (s, 3H), 3.83 (s, 3H), 3.25–3.20 (m, 2H), 3.01–2.97 (m, 2H). **$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$):** δ 198.1, 148.9, 147.4, 139.5, 135.1, 133.6, 129.5, 128.9, 120.2, 111.7, 111.2, 55.9, 55.8, 40.7, 29.7. **LRMS (ESI)** m/z calcd. for $C_{17}H_{18}ClO_3$ $[M + H]^+$: 305.1; found: 305.1. **Anal. calcd. for** $C_{17}H_{17}ClO_3$: C, 67.00; H, 5.62; found: C, 67.17; H, 5.68.

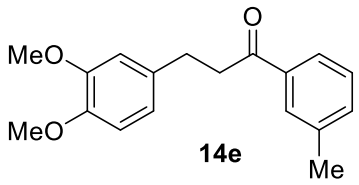
3-(3,4-Dimethoxyphenyl)-1-(4-fluorophenyl)propan-1-one (14d)



14d

Following the general procedure B, the title compound **14d** was prepared using substrate **25d** (286 mg, 1.0 mmol, 1.0 equiv), Pd-C (29 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 88% (254 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.00–7.95 (m, 2H), 7.13–7.08 (m, 2H), 6.79–6.76 (m, 3H), 3.86 (s, 3H), 3.85 (s, 3H), 3.27–3.22 (m, 2H), 3.02–2.98 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 197.8, 165.8 (d, *J* = 253.0 Hz), 149.0, 147.5, 133.8, 133.4 (d, *J* = 2.9 Hz), 130.7 (d, *J* = 9.2 Hz), 120.2, 115.8 (d, *J* = 21.8 Hz), 111.9, 111.4, 56.0, 55.9, 40.7, 29.8. **LRMS (ESI)** m/z calcd. for $C_{17}H_{18}FO_3$ [M + H]$^+$: 289.1; found: 289.1. **Anal. calcd. for** $C_{17}H_{17}FO_3$: C, 70.82; H, 5.94; found: C, 70.65; H, 5.86.

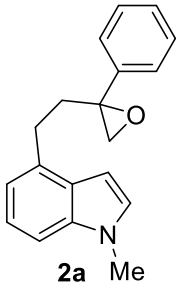
3-(3,4-Dimethoxyphenyl)-1-(*m*-tolyl)propan-1-one (14e)



14e

Following the general procedure B, the title compound **14e** was prepared using substrate **25e** (282 mg, 1.0 mmol, 1.0 equiv), Pd-C (28 mg, 10 wt%) and Ph_2S (8.0 μL, 0.01 mmol, 0.01 equiv). The crude product was purified by silica gel column chromatography (0-10% EtOAc/hexanes). Off-white semi-solid. Yield: 89% (253 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.74 (d, *J* = 8.9 Hz, 2H), 7.36–7.29 (m, 2H), 6.78 (dd, *J* = 3.6, 1.6 Hz, 3H), 3.85 (s, 3H), 3.84 (s, 3H), 3.26 (dd, *J* = 8.3, 6.9 Hz, 2H), 3.00 (dd, *J* = 8.3, 7.0 Hz, 2H), 2.38 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 199.8, 149.2, 147.7, 138.7, 137.2, 134.3, 134.1, 128.9, 128.8, 125.5, 120.5, 112.2, 111.6, 56.2, 56.1, 41.0, 30.1, 21.6. **LRMS (ESI)** m/z calcd. for $C_{18}H_{21}O_3$ [M + H]$^+$: 285.1; found: 285.1. **Anal. calcd. for** $C_{18}H_{20}O_3$: C, 76.03; H, 7.09; found: C, 76.28; H, 7.15.

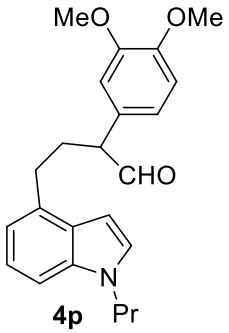
1-Methyl-4-(2-(2-phenyloxiran-2-yl)ethyl)-1*H*-indole (2a)



2a

The title compound **2a** was synthesized from substrate **1a** (132 mg, 0.5 mmol), trimethylsulfoxonium iodide (132 mg, 0.6 mmol), and NaH (15 mg, 0.6 mmol) following the General Procedure C (first part). The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **2a** as an off-white gum. Yield: 83% (115 mg). **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.51–7.48 (m, 2H), 7.41 (t, *J* = 7.4 Hz, 2H), 7.35–7.31 (m, 1H), 7.20–7.14 (m, 2H), 7.04 (d, *J* = 3.1 Hz, 1H), 6.93–6.90 (m, 1H), 6.45 (dd, *J* = 3.2, 0.8 Hz, 1H), 3.79 (s, 3H), 3.05–2.99 (m, 3H), 2.81 (d, *J* = 5.3 Hz, 1H), 2.66 (ddd, *J* = 14.2, 11.9, 5.0 Hz, 1H), 2.18 (ddd, *J* = 14.2, 11.7, 5.3 Hz, 1H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 140.4, 137.1, 134.4, 128.9, 128.8, 128.0, 127.9, 126.5, 122.1, 118.9, 107.7, 99.5, 60.8, 56.4, 36.9, 33.4, 29.1. **Anal. calcd. for** $C_{19}H_{19}NO$: C, 82.28; H, 6.90; N, 5.05; found: C, 82.51; H, 6.99; N, 5.15.

2-(3,4-Dimethoxyphenyl)-4-(1-propyl-1*H*-indol-4-yl)butanal (4p)



4p

To a stirred solution of trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv) in DMSO (4 mL) at 0 °C under a nitrogen atmosphere, NaH (15 mg, 0.6 mmol, 1.2 equiv) was added in portions. The reaction mixture was then warmed to room temperature and stirred for 30 min until the evolution of hydrogen gas ceased. A solution of the ketone **1p** (175 mg, 0.5 mmol, 1.0 equiv) in DMSO (2 mL) was added dropwise, and the resulting mixture was stirred at room temperature for 5 h. After completion, the reaction mixture was poured into water (10 mL) and extracted with $CHCl_3$ (3 × 10 mL). The combined

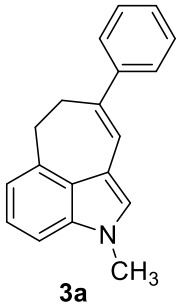
organic layers were washed with water (3 × 10 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The crude product **2p** was exposed to silica for 2 h and then purified by a short silica gel column chromatography. The title compound **4p** was obtained as an off-white solid. Yield: 82% (149 mg). **^{1}H NMR (400 MHz, CHLOROFORM-*D*):** δ 9.64 (s, 1H), 7.22 (d, *J* = 8.2 Hz, 1H), 7.14 (dd, *J* = 8.4, 7.0 Hz, 1H), 7.09 (d, *J* = 3.2 Hz, 1H), 6.91–6.87 (m, 2H), 6.80 (dd, *J* = 8.3, 2.1 Hz, 1H), 6.68 (d, *J* = 2.1 Hz, 1H), 6.43 (dd, *J* = 3.2, 0.9 Hz, 1H), 4.08 (t, *J* = 7.1 Hz, 2H), 3.94 (t, *J* = 2.6 Hz, 1H), 3.90 (s, 3H), 3.87 (s, 3H), 2.96–2.81 (m, 2H), 2.55 (ddt, *J* = 13.6, 9.2, 6.8 Hz, 1H), 2.20–2.11 (m, 1H), 1.87 (q, *J* = 7.3 Hz, 3H), 0.93 (d, *J* = 7.3 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 201.2, 149.8, 148.9, 136.4, 134.0, 128.8, 128.3, 127.9, 121.8, 121.7, 119.2, 112.2, 111.9, 108.1, 99.5, 58.5, 56.3, 56.3, 48.6, 31.0, 30.6, 24.0, 12.0. **Anal. calcd. for** $C_{23}H_{27}NO_3$: C, 75.59; H, 7.45; N, 3.83; found: C, 75.82; H, 7.58; N, 3.89.

2.2. Synthesis of compounds 3a–q, 10a–g, 13a, 13b and 16a–f) (Scheme 2, Scheme 4 and Scheme 6, main manuscript)

General Procedure C: To a stirred solution of trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv) in DMSO (4 mL) at 0 °C under a nitrogen atmosphere, NaH (15 mg, 0.6 mmol, 1.2 equiv) was added in portions. The reaction mixture was then warmed to room temperature and stirred for 30 min until the evolution of hydrogen gas ceased. A solution of the corresponding ketone **1**, **8**, **11** or **14** (0.5 mmol, 1.0 equiv) in DMSO (2 mL) was added dropwise, and the resulting mixture was stirred at room temperature for 5 h. After completion, the reaction mixture was poured into water (10 mL) and extracted with $CHCl_3$ (3 × 10 mL). The combined organic layers were washed with water (3 × 10 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure. The crude product was used in the next step without chromatographic purification.

To a stirred solution of **2a–q, 9a–g, 12a,b** and **15a–f** in CH_2Cl_2 at 0 °C, $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) was added dropwise. The reaction mixture was stirred at room temperature for 6 h, then quenched with 10% aqueous $NaHCO_3$ (10 mL) and diluted with CH_2Cl_2 (10 mL). The organic layer was separated, washed with brine, dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by silica gel column chromatography using 2–10% EtOAc in hexanes as eluent to afford the desired products **3, 10, 13** and **16**.

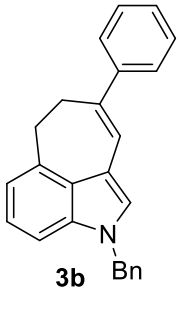
2-Methyl-8-phenyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3a)



3a

The title compound **3a** was synthesized from substrate **1a** (132 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3a** as a white semi-solid. Yield: 81% (105 mg) over two steps. The product **3a** was also synthesize on gram scale using substrate **1a** (1.1 g, 4.2 mmol, 1.0 equiv), trimethylsulfoxonium iodide (1.1 g, 5.0 mmol, 1.2 equiv), and NaH (120 mg, 5.0 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (0.6 mL, 5.0 mmol, 1.2 equiv) in the second step, according to General Procedure C. Yield of this gram scale synthesis: 83% (904 mg). **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.52–7.48 (m, 2H), 7.38–7.34 (m, 3H), 7.19 (d, *J* = 3.6 Hz, 2H), 7.07 (s, 1H), 6.92–6.88 (m, 2H), 3.80 (s, 3H), 3.28–3.25 (m, 2H), 3.13–3.11 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 145.6, 137.7, 137.5, 137.3, 128.4, 128.0, 126.2, 126.0, 125.5, 122.3, 122.0, 118.6, 116.0, 107.2, 34.1, 33.3, 33.0. **LRMS (ESI)** m/z calcd. for $C_{19}H_{18}N$ $[M + H]^+$: 260.1; found: 260.1. **Anal. calcd. for** $C_{19}H_{17}N$: C, 87.99; H, 6.61; N, 5.40; found: C, 87.83; H, 6.54; N, 5.33.

2-Benzyl-8-phenyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3b)

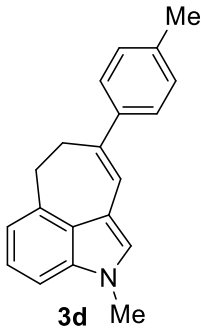


3b

The title compound **3b** was synthesized from substrate **1b** (169 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2

equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3b** as an off-white gum. Yield: 76% (132 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.50–7.45 (m, 2H), 7.33 (t, *J* = 7.7 Hz, 2H), 7.29–7.19 (m, 4H), 7.15–7.08 (m, 5H), 6.89–6.86 (m, 2H), 5.26 (s, 2H), 3.26–3.23 (m, 2H), 3.12–3.09 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 145.8, 138.4, 137.7, 137.6, 137.4, 129.2, 128.7, 128.1, 127.7, 127.3, 126.5, 126.4, 126.0, 122.7, 122.3, 119.2, 116.9, 108.0, 50.6, 34.4, 33.5. **Anal. calcd. for** $C_{25}H_{21}N$: C, 89.51; H, 6.31; N, 4.18; found: C, 89.79; H, 6.36; N, 4.25.

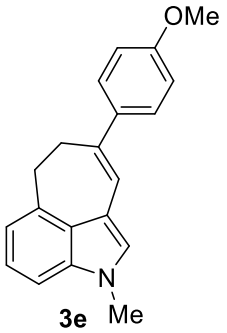
2-Methyl-8-(*p*-tolyl)-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3d)



3d

The title compound **3d** was synthesized from substrate **1d** (139 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3d** as a white semi-solid. Yield: 72% (98 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$**): δ 7.42–7.39 (m, 2H), 7.20–7.16 (m, 4H), 7.06 (s, 1H), 6.92–6.89 (m, 1H), 6.87 (s, 1H), 3.79 (s, 3H), 3.28–3.24 (m, 2H), 3.12–3.09 (m, 2H), 2.39 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 142.7, 137.7, 137.5, 137.4, 135.8, 129.1, 127.8, 126.0, 125.5, 122.2, 121.2, 118.6, 116.1, 107.2, 34.1, 33.3, 33.0, 21.2. **LRMS (ESI)** m/z calcd. for $C_{20}H_{20}N$ $[M + H]^+$: 274.2; found: 274.2. **Anal. calcd. for** $C_{20}H_{19}N$: C, 87.87; H, 7.01; N, 5.12, found: C, 88.06; H, 7.11; N, 5.24.

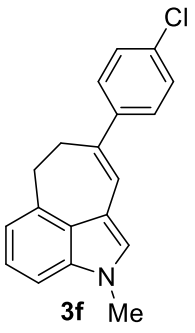
8-(4-Methoxyphenyl)-2-methyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3e)



3e

The title compound **3e** was synthesized from substrate **1e** (147 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3e** as an off-white semi-solid. Yield: 70% (101 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.44–7.40 (m, 2H), 7.17 (s, 1H), 7.16 (d, *J* = 0.9 Hz, 1H), 7.04 (s, 1H), 6.91–6.81 (m, 3H), 6.81 (s, 1H), 3.84 (s, 3H), 3.79 (s, 3H), 3.25–3.20 (m, 2H), 3.09–3.06 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 158.3, 138.2, 137.5, 137.4, 127.7, 127.1, 125.5, 122.2, 120.5, 118.5, 116.1, 113.8, 107.2, 55.5, 34.1, 33.4, 33.0. **LRMS (ESI)** m/z calcd. for $C_{20}H_{20}NO$ $[M + H]^+$: 290.2; found: 290.2. **Anal. calcd. for** $C_{20}H_{19}NO$: C, 83.01; H, 6.62; N, 4.84, found: C, 83.30; H, 6.58; N, 4.97.

8-(4-Chlorophenyl)-2-methyl-2,6,7,9*a*-tetrahydro-1*H*-cyclohepta[*cd*]indole (3f)

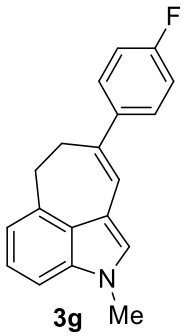


3f

The title compound **3f** was synthesized from substrate **1g** (149 mg, 0.5 mmol, 1.0 equivv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3f** as an off-white solid. Yield: 80% (118 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.42–

7.38 (m, 2H), 7.33–7.29 (m, 2H), 7.19 (d, *J* = 4.7 Hz, 2H), 7.07 (s, 1H), 6.92–6.89 (m, 1H), 6.86 (s, 1H), 3.80 (s, 3H), 3.26–3.23 (m, 2H), 3.08–3.05 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 144.0, 137.5, 137.1, 136.4, 131.7, 128.4, 128.2, 127.3, 125.4, 122.4, 122.3, 118.7, 115.7, 107.3, 34.0, 33.1, 33.0. **LRMS (ESI)** m/z calcd. for $C_{19}H_{18}ClN$ $[M + H]^+$: 296.1, found: 296.1. **Anal. calcd. For** $C_{19}H_{18}O_3$: C, 77.15; H, 6.13; N, 4.74; found: C, 77.37; H, 6.22; N, 4.83.

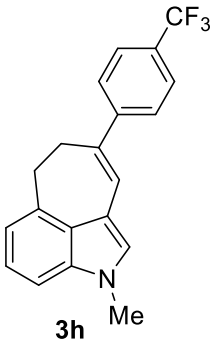
8-(4-Fluorophenyl)-2-methyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3g)



3g

The title compound **3g** was synthesized from substrate **1g** (141 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3g** as a white semi-solid. Yield: 81% (112 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.46–7.40 (m, 2H), 7.20–7.17 (m, 2H), 7.07–7.01 (m, 3H), 6.92–6.89 (m, 1H), 6.82 (s, 1H), 3.80 (s, 3H), 3.28–3.23 (m, 2H), 3.10–3.05 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 161.6 (d, *J* = 244.7 Hz), 141.7 (d, *J* = 2.9 Hz), 137.5, 137.2, 136.7, 128.0, 127.5 (d, *J* = 7.7 Hz), 125.4, 122.3, 121.8, 118.7, 115.8, 115.1 (d, *J* = 21.2 Hz), 107.3, 34.0, 33.4, 33.1. **LRMS (ESI)** m/z calcd. for $C_{19}H_{17}FN$ $[M + H]^+$: 278.1, found: 278.1. **Anal. calcd. For** $C_{19}H_{16}FN$: C, 82.28; H, 5.82; N, 5.05; found: C, 82.09; H, 5.90; N, 5.16.

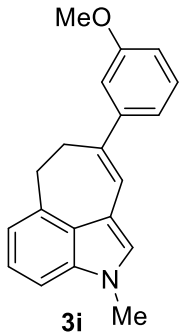
8-(4-Fluorophenyl)-2-methyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3h)



3h

The title compound **3h** was synthesized from substrate **1h** (166 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol , 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3h** as an off-white semi-solid. Yield: 76% (124 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.62–7.55 (m, 4H), 7.22–7.17 (m, 2H), 7.11 (s, 1H), 6.95 (s, 1H), 6.94–6.90 (m, 1H), 3.81 (s, 3H), 3.29–3.23 (m, 2H), 3.12–3.06 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 149.3, 137.8, 137.4, 136.4, 129.0, 128.2 (q, *J* = 32.3 Hz), 126.4, 125.7, 125.6 (q, *J* = 4.0 Hz), 124.9 (q, J = 270.0 Hz), 124.2, 122.8, 119.2, 115.9, 107.7, 34.3, 33.5, 33.3. **Anal. calcd. For** $C_{20}H_{16}F_3N$: C, 73.38; H, 4.93; N, 4.28; found: C, 73.59; H, 5.07; N, 4.35.

8-(3-Methoxyphenyl)-2-methyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3i)

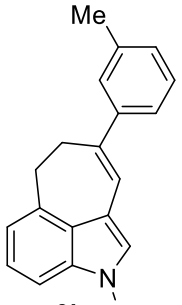


3i

The title compound **3i** was synthesized from substrate **1i** (147 mg, 0.5 mmol), trimethylsulfoxonium iodide (132 mg, 0.6 mmol), and NaH (15 mg, 0.6 mmol) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3i** as an off-white gum. Yield: 79% (114 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.30 (t, *J* = 7.9 Hz, 1H), 7.21–7.19

(m, 2H), 7.13–7.10 (m, 1H), 7.07–7.05 (m, 2H), 6.93 (d, *J* = 1.2 Hz, 2H), 6.82 (dd, *J* = 8.6, 3.0 Hz, 1H), 3.88 (s, 3H), 3.80 (s, 3H), 3.29–3.26 (m, 2H), 3.14–3.10 (m, 2H). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 159.9, 147.4, 137.8, 137.8, 137.6, 129.6, 128.4, 125.8, 122.6, 122.4, 118.99, 118.97, 116.2, 112.2, 111.8, 107.5, 55.7, 34.4, 33.6, 33.3. **LRMS (ESI) m/z calcd.** for $C_{20}H_{20}NO$ $[M + H]^+$: 290.2; found: 290.2. **Anal. calcd. for** $C_{20}H_{19}NO$: C, 83.01; H, 6.62; N, 4.84; found: C, 83.37; H, 6.80; N, 4.97.

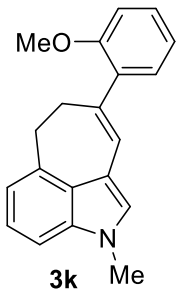
2-Methyl-8-(*m*-tolyl)-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3j)



3j

The title compound **3j** was synthesized from substrate **1j** (139 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3j** as an off-white semi-solid. Yield: 76% (103 mg) over two steps. **^1H NMR (400 MHz, $CDCl_3$):** δ 7.31–7.27 (m, 2H), 7.24 (s, 1H), 7.17 (s, 1H), 7.16 (d, *J* = 1.0 Hz, 1H), 7.05 (d, *J* = 6.2 Hz, 2H), 6.90–6.86 (m, 2H), 3.79 (s, 3H), 3.25–3.23 (m, 2H), 3.10–3.08 (m, 2H), 2.39 (s, 3H). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 145.9, 138.2, 138.2, 137.8, 137.7, 128.6, 128.3, 127.3, 127.2, 125.8, 123.5, 122.5, 122.1, 118.9, 116.3, 107.5, 34.4, 33.6, 33.4, 22.1. **LRMS (ESI)** m/z calcd. for $C_{20}H_{20}N$ $[M + H]^+$: 273.2; found: 273.2. **Anal. calcd. for** $C_{20}H_{19}N$: C, 87.87; H, 7.01; N, 5.12, found: C, 87.68; H, 7.05; N, 5.25.

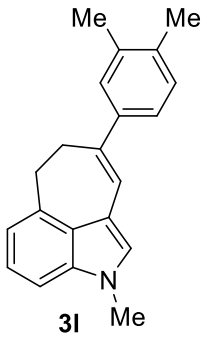
2-Methyl-8-(*o*-tolyl)-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3k)



3k

The title compound **3k** was synthesized from substrate **1k** (147 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3k** as an off-white semi-solid. Yield: 72% (104 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.32 (dd, *J* = 7.4, 1.8 Hz, 1H), 7.28 (ddd, *J* = 8.1, 7.4, 1.8 Hz, 1H), 7.19 (d, *J* = 5.3 Hz, 2H), 7.02 (s, 1H), 6.98 (td, *J* = 7.4, 1.1 Hz, 1H), 6.94–6.91 (m, 2H), 6.70 (s, 1H), 3.88 (s, 3H), 3.79 (s, 3H), 3.31–3.29 (m, 2H), 2.99–2.96 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 156.8, 137.9, 137.5, 137.2, 135.9, 129.1, 127.5, 127.1, 125.3, 122.5, 121.8, 120.3, 118.3, 115.6, 110.6, 106.7, 55.3, 34.4, 33.8, 32.6. **Anal. calcd. for** $C_{20}H_{19}NO$: C, 83.01; H, 6.62; N, 4.84, found: C, 83.27; H, 6.75; N, 4.96.

8-(3,4-Dimethylphenyl)-2-methyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3l)

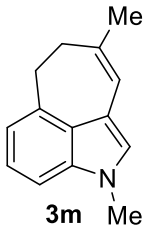


3l

The title compound **3l** was synthesized from substrate **1l** (146 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3l** as a pale brown gum. Yield: 70% (101 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$)**: δ 7.30 (s, 1H), 7.27–7.24 (m, 1H), 7.19–7.11 (m, 3H), 7.06 (s, 1H), 6.91 (t, *J* = 4.1 Hz, 1H), 6.87 (s,

1H), 3.80 (s, 3H), 3.27–3.25 (m, 2H), 3.12–3.10 (m, 2H), 2.33 (s, 3H), 2.30 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 143.5, 138.1, 137.71, 136.72, 134.9, 130.0, 128.1, 127.7, 125.8, 123.8, 122.5, 121.3, 118.9, 116.4, 107.5, 34.4, 33.6, 33.3, 20.4, 19.8. **LRMS (ESI)** m/z calcd. for $C_{21}H_{22}N$ $[M + H]^+$: 288.2; found: 288.2. **Anal. calcd. for** $C_{21}H_{21}N$: C, 87.76; H, 7.37; N, 4.87, found: C, 87.55; H, 7.49; N, 4.93.

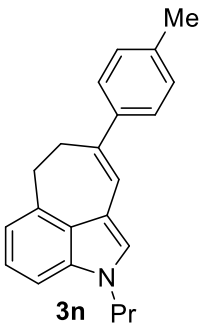
2,8-Dimethyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3m)



3m

The title compound **3m** was synthesized from substrate **1m** (100 mg, 0.5 mmol), trimethylsulfoxonium iodide (132 mg, 0.6 mmol), and NaH (15 mg, 0.6 mmol) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3m** as an off-white semi-solid. Yield: 75% (74 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.24–7.18 (m, 2H), 6.93–6.90 (m, 2H), 6.46 (s, 1H), 3.77 (s, 3H), 3.22–3.19 (m, 2H), 2.70–2.66 (m, 2H), 2.07 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 137.7, 137.4, 135.8, 126.0, 122.2, 118.8, 118.6, 116.1, 107.3, 35.1, 33.9, 33.1, 27.7. **Anal. calcd. for** $C_{14}H_{15}N$: C, 85.24; H, 7.66; N, 7.10; found: C, 85.51; H, 7.85; N, 7.22.

2-Propyl-8-(*p*-tolyl)-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3n)

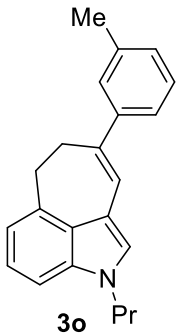


3n

The title compound **3n** was synthesized from substrate **1n** (153 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol,

1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3n** as an off-white gum. Yield: 72% (108 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.41–7.39 (m, 2H), 7.18–7.14 (m, 4H), 7.10 (s, 1H), 6.89–6.86 (m, 2H), 4.07 (t, *J* = 7.1 Hz, 2H), 3.26–3.23 (m, 2H), 3.11–3.08 (m, 2H), 2.37 (s, 3H), 1.94–1.85 (m, 2H), 0.96 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** 142.7, 137.6, 137.4, 136.8, 135.8, 129.1, 127.0, 126.0, 125.5, 122.0, 121.3, 118.5, 115.9, 107.4, 48.3, 34.1, 33.3, 23.7, 21.2, 11.7. **LRMS (ESI)** m/z calcd. for $C_{22}H_{24}N$ $[M + H]^+$: 302.2; found: 302.2. **Anal. calcd. for** $C_{22}H_{23}N$: C, 87.66; H, 7.69; N, 4.65; found: C, 87.47; H, 7.77; N, 4.57.

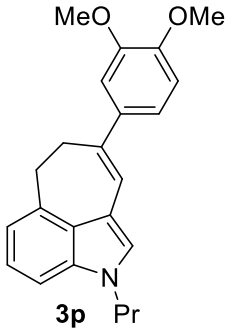
2-Propyl-8-(*m*-tolyl)-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3o)



3o

The title compound **3o** was synthesized from substrate **1o** (153 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3o** as a white semi-solid. Yield: 80% (120 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.36–7.27 (m, 1H), 7.29 (t, *J* = 7.5 Hz, 1H), 7.25–7.16 (m, 2H), 7.13 (s, 1H), 7.10 (d, *J* = 6.4 Hz, 1H), 6.94–6.92 (m, 2H), 4.10 (t, *J* = 7.1 Hz, 2H), 3.31–3.28 (m, 2H), 3.16–3.13 (m, 2H), 2.44 (s, 3H), 1.97–1.88 (m, 2H), 1.00 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 145.3, 137.5, 137.4, 137.1, 136.5, 127.9, 126.8, 126.6, 126.5, 125.2, 122.9, 121.7, 121.5, 118.2, 115.6, 107.1, 47.9, 33.8, 32.9, 23.3, 21.4, 11.4. **LRMS (ESI)** m/z calcd. for $C_{22}H_{24}N$ $[M + H]^+$: 302.2; found: 302.0. **Anal. calcd. for** $C_{22}H_{23}N$: C, 87.66; H, 7.69; N, 4.65; found: C, 87.84; H, 7.77; N, 4.75.

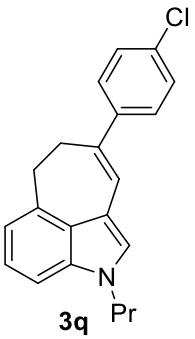
8-(3,4-Dimethoxyphenyl)-2-propyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3p)



3p

The title compound **3p** was synthesized from substrate **1p** (176 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3p** as a pale brown gum. Yield: 69% (98 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.20–7.14 (m, 2H), 7.11 (s, 1H), 7.05–7.02 (m, 2H), 6.90–6.86 (m, 2H), 6.84 (s, 1H), 4.07 (t, *J* = 7.1 Hz, 2H), 3.95 (s, 3H), 3.91 (s, 3H), 3.29–3.24 (m, 2H), 3.11–3.07 (m, 2H), 1.94–1.85 (m, 2H), 0.97 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 148.4, 147.5, 138.4, 137.1, 137.0, 136.5, 126.6, 125.2, 121.7, 120.6, 118.2, 118.0, 115.5, 110.8, 109.3, 107.1, 55.8, 55.7, 48.0, 33.8, 33.1, 23.3, 11.4. **LRMS (ESI)** m/z calcd. for $C_{23}H_{26}NO_2$ $[M + H]^+$: 348.2; found: 348.1. **Anal. calcd. for** $C_{23}H_{25}NO_2$: C, 79.51; H, 7.25; N, 4.03, found: C, 79.75; H, 7.37; N, 4.13.

8-(4-Chlorophenyl)-2-propyl-6,7-dihydro-2*H*-cyclohepta[*cd*]indole (3q)

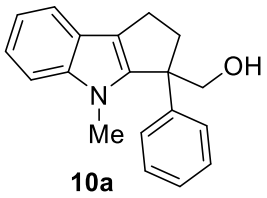


3q

The title compound **3q** was synthesized from substrate **1q** (163 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **3q** as a

pale brown semi-solid. Yield: 82% (132 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.44–7.39 (m, 2H), 7.34–7.30 (m, 2H), 7.24–7.15 (m, 2H), 7.13 (s, 1H), 6.91 (dd, *J* = 6.8, 1.1 Hz, 1H), 6.88 (s, 1H), 4.08 (t, *J* = 7.0 Hz, 2H), 3.28–3.21 (m, 2H), 3.12–3.04 (m, 2H), 1.95–1.86 (m, 2H), 0.98 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 144.3, 137.5, 137.2, 136.6, 132.0, 128.7, 127.8, 127.6, 125.8, 122.8, 122.5, 119.0, 115.9, 107.9, 48.6, 34.4, 33.4, 24.0, 12.0. **LRMS (ESI)** m/z calcd. for $C_{21}H_{21}ClN$ $[M + H]^+$: 322.1; found: 322.1. **Anal. calcd. for** $C_{21}H_{20}ClN$: C, 78.37; H, 6.26; N, 4.35; found: C, 78.55; H, 6.35; N, 4.47.

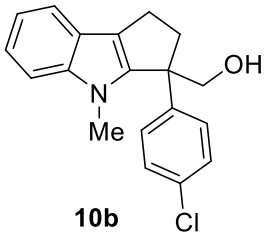
(4-Methyl-3-phenyl-1,2,3,4-tetrahydrocyclopenta[*b*]indol-3-yl)methanol (10a)



10a

The title compound **10a** was synthesized from substrate **8a** (132 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (10–25% EtOAc/hexanes) to afford **10a** as an off-white semi-solid. Yield: 52% (72 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.58–7.55 (m, 1H), 7.39–7.29 (m, 3H), 7.27–7.11 (m, 5H), 4.33 (d, *J* = 11.0 Hz, 1H), 4.15 (d, *J* = 11.0 Hz, 1H), 3.47 (s, 3H), 2.99–2.89 (m, 2H), 2.83 (ddd, *J* = 12.7, 7.6, 5.0 Hz, 1H), 2.67–2.56 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 146.2, 145.2, 142.5, 129.2, 127.0, 126.9, 124.3, 121.3, 121.1, 119.7, 119.5, 110.1, 67.8, 55.0, 45.7, 31.4, 23.4. **LRMS (ESI) m/z calcd.** for $C_{19}H_{20}NO$ $[M + H]^+$: 278.1; found: 278.1. **Anal. calcd. for** $C_{19}H_{19}NO$: C, 82.28; H, 6.90; N, 5.05; found: C, 82.45; H, 6.96; N, 5.17.

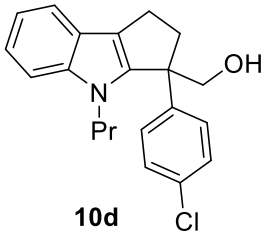
(3-(4-Chlorophenyl)-4-methyl-1,2,3,4-tetrahydrocyclopenta[*b*]indol-3-yl)methanol (10b)



10b

The title compound **10b** was synthesized from substrate **8b** (149 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (10–25% EtOAc/hexanes) to afford **10b** as an off-white semi-solid. Yield: 50% (78 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.54 (d, *J* = 7.7 Hz, 1H), 7.29 (d, *J* = 8.8 Hz, 3H), 7.25–7.19 (m, 1H), 7.18–7.12 (m, 3H), 4.30 (d, *J* = 10.9 Hz, 1H), 4.13 (dd, *J* = 10.8, 6.3 Hz, 1H), 3.44 (s, 3H), 2.94–2.86 (m, 2H), 2.80 (ddd, *J* = 12.6, 7.7, 4.9 Hz, 1H), 2.60–2.49 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 145.4, 143.5, 142.2, 132.5, 129.0, 128.1, 123.9, 121.2, 121.0, 119.5, 119.2, 109.9, 67.3, 54.3, 45.4, 31.2, 23.1. **LRMS (ESI) m/z calcd.** for $C_{19}H_{19}NO$ $[M + H]^+$: 312.1; found: 312.1. **Anal. calcd. for** $C_{19}H_{18}NO$: C, 73.19; H, 5.82; N, 4.49; found: C, 73.42; H, 5.95; N, 4.55.

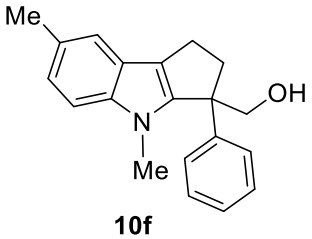
(3-(4-Chlorophenyl)-4-propyl-1,2,3,4-tetrahydrocyclopenta[*b*]indol-3-yl) methanol (10d)



10d

The title compound **10d** was synthesized from substrate **8d** (163 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (10–25% EtOAc/hexanes) to afford **10d** as a pale brown gum. Yield: 52% (88 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.55–7.53 (m, 1H), 7.29–7.25 (m, 3H), 7.20 (ddd, *J* = 8.4, 7.0, 1.3 Hz, 1H), 7.15–7.12 (m, 2H), 7.11 (d, *J* = 2.2 Hz, 1H), 4.28–4.18 (m, 2H), 3.84–3.77 (m, 1H), 3.71–3.63 (m, 1H), 2.93–2.85 (m, 3H), 2.60–2.52 (m, 1H), 1.62–1.50 (m, 3H), 0.79 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 144.9, 143.8, 141.8, 132.9, 129.2, 128.5, 124.3, 121.6, 121.5, 119.7, 119.7, 110.8, 67.6, 54.6, 47.1, 45.6, 23.7, 23.3, 11.8. **Anal. calcd. for** $C_{21}H_{22}ClNO$: C, 74.22; H, 6.52; N, 4.12; found: C, 74.08; H, 6.45; N, 4.04.

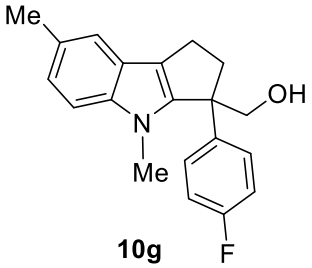
(4,7-Dimethyl-3-phenyl-1,2,3,4-tetrahydrocyclopenta[*b*]indol-3-yl)methanol (10f)



10f

The title compound **10f** was synthesized from substrate **8f** (139 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (10–25% EtOAc/hexanes) to afford **10f** as a pale brown gum. Yield: 55% (80 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.34–7.28 (m, 3H), 7.25–7.22 (m, 1H), 7.20–7.16 (m, 3H), 7.04 (dd, *J* = 8.5, 1.9 Hz, 1H), 4.32 (d, *J* = 10.3 Hz, 1H), 4.19–4.12 (m, 1H), 3.43 (s, 3H), 2.90–2.78 (m, 3H), 2.63–2.54 (m, 1H), 2.47 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 146.0, 145.2, 141.0, 129.2, 129.0, 127.1, 126.9, 124.4, 122.9, 120.8, 119.3, 109.8, 67.9, 55.1, 45.7, 31.5, 23.4, 21.9. **LRMS (ESI) m/z calcd.** for $C_{20}H_{22}NO$ $[M + H]^+$: 292.2; found: 292.2. **Anal. calcd. for** $C_{20}H_{21}NO$: C, 82.44; H, 7.26; N, 4.81; found: C, 82.60; H, 7.31; N, 4.88.

(3-(4-Fluorophenyl)-4,7-dimethyl-1,2,3,4-tetrahydrocyclopenta[*b*]indol-3-yl)methanol (10g)

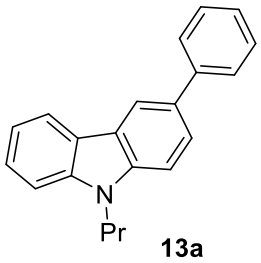


10g

The title compound **10g** was synthesized from substrate **8g** (148 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (10–25% EtOAc/hexanes) to afford **10g** as

an off-white semi-solid. Yield: 51% (79 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.33 (s, 1H), 7.17 (t, *J* = 7.2 Hz, 3H), 7.05 (d, *J* = 8.4 Hz, 1H), 7.00 (t, *J* = 8.7 Hz, 2H), 4.29 (d, *J* = 11.0 Hz, 1H), 4.14 (dd, *J* = 11.1, 7.7 Hz, 1H), 3.41 (s, 3H), 2.87 (dd, *J* = 8.5, 5.7 Hz, 2H), 2.80 (ddd, *J* = 11.6, 8.1, 3.9 Hz, 1H), 2.58–2.50 (m, 1H), 2.48 (s, 3H), 1.53 (dd, *J* = 8.3, 3.7 Hz, 1H), 1.52 (br s, 1H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 162.8, 160.4, 145.6, 140.7, 140.7, 128.8, 128.3, 128.2, 124.0, 122.7, 120.4, 119.0, 115.7, 115.5, 109.5, 67.5, 54.2, 45.4, 31.1, 23.1, 21.6. **^{19}F NMR (400 MHz, $CDCl_3$):** δ -116.27 (s). **LRMS (ESI) m/z calcd.** for $C_{20}H_{21}FNO$ $[M + H]^+$: 310.2; found: 310.2. **Anal. calcd. for** $C_{20}H_{20}FNO$: C, 77.64; H, 6.52; N, 4.53; found: C, 77.85; H, 6.66; N, 4.62.

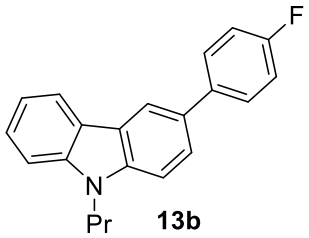
3-Phenyl-9-propyl-9*H*-carbazole (13a)



13a

The title compound **13a** was synthesized from substrate **11a** (146 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **13a** as an off-white gum. Yield: 81% (116 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.24–8.22 (m, 1H), 8.06 (dd, *J* = 7.9, 3.8 Hz, 1H), 7.64–7.61 (m, 2H), 7.38–7.32 (m, 5H), 7.26–7.14 (m, 3H), 4.23–4.18 (m, 2H), 1.87–1.82 (m, 2H), 0.91 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 142.3, 141.1, 140.2, 132.4, 128.9, 127.4, 126.5, 125.9, 125.3, 123.4, 123.1, 120.5, 119.0, 119.0, 109.1, 109.0, 44.9, 22.5, 12.0. **LRMS (ESI)** m/z calcd. for $C_{21}H_{20}N$ $[M + H]^+$: 286.2, found: 286.2. **Anal. calcd. For** $C_{21}H_{19}N$: C, 88.38; H, 6.71; N, 4.91; found: C, 88.56; H, 6.62; N, 4.84.

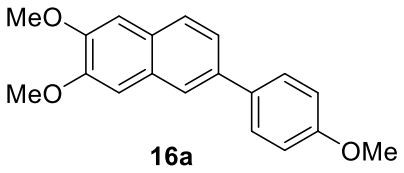
3-(4-Fluorophenyl)-9-propyl-9*H*-carbazole (13b)



13b

The title compound **13b** was synthesized from substrate **11b** (155 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **13b** as an off-white gum. Yield: 85% (129 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 8.25 (d, *J* = 1.1 Hz, 1H), 8.13 (d, *J* = 7.7 Hz, 1H), 7.64 (ddd, *J* = 8.4, 4.5, 1.9 Hz, 3H), 7.50–7.41 (m, 3H), 7.24 (td, *J* = 7.3, 1.2 Hz, 1H), 7.15 (t, *J* = 8.8 Hz, 2H), 4.29 (t, *J* = 7.2 Hz, 2H), 1.94 (h, *J* = 7.4 Hz, 2H), 0.99 (t, *J* = 7.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 161.6 (d, *J* = 243.0 Hz), 140.6, 139.5, 137.9 (d, *J* = 3.0 Hz), 130.9, 128.3 (d, *J* = 8.0 Hz), 125.5, 124.6, 122.9, 122.4, 120.0, 118.5, 118.3, 115.1 (d, *J* = 21.2 Hz), 108.6, 108.5, 44.3, 22.0, 11.5. **^{19}F NMR (400 MHz, $CDCl_3$):** -117.18 (s). **LRMS (ESI) m/z calcd.** for $C_{21}H_{19}FN$ $[M + H]^+$: 304.2; found:304.2. **Anal. calcd. for** $C_{21}H_{18}FN$: C, 83.14; H, 5.98; N, 4.62; found: C, 83.37; H, 5.89; N, 4.69.

2,3-Dimethoxy-6-(4-methoxyphenyl)naphthalene (16a)

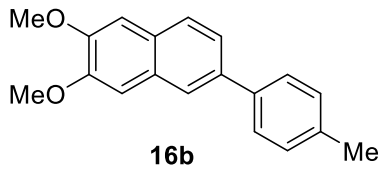


16a

The title compound **16a** was synthesized from substrate **14a** (150 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **16a** as a off-white semi-solid. Yield: 78% (115 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.85 (d, *J* = 1.9 Hz, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.66–7.61 (m, 2H), 7.57 (dd, *J* = 8.4, 1.9 Hz, 1H), 7.15 (d, *J* = 12.9 Hz, 2H), 7.04–6.99 (m, 2H), 4.04–4.02 (m, 6H, 2 methoxy groups

overlapped), 3.87 (s, 3H). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 158.8, 149.6, 149.2, 136.4, 133.7, 129.3, 128.0, 127.8, 126.6, 123.5, 114.1, 106.3, 105.9, 55.7, 55.2. **LRMS (ESI)** m/z calcd. for $C_{19}H_{19}O_3$ $[M + H]^+$: 295.1, found: 295.1. **Anal. calcd. For** $C_{19}H_{18}O_3$: C, 77.53; H, 6.16; found: C, 77.71; H, 6.22.

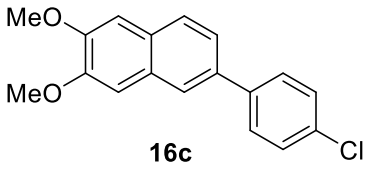
2,3-Dimethoxy-6-(*p*-tolyl)naphthalene (16b)



16b

The title compound **16b** was synthesized from substrate **14b** (142 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **16b** as an off-white semi-solid. Yield: 77% (107 mg) over two steps. **^1H NMR (400 MHz, $CDCl_3$):** δ 7.89 (d, *J* = 1.8 Hz, 1H), 7.75 (d, *J* = 8.4 Hz, 1H), 7.63–7.57 (m, 3H), 7.30–7.28 (m, 2H), 7.18 (s, 1H), 7.15 (s, 1H), 4.03–4.02 (m, 6H, 2 methoxy groups overlapped together), 2.42 (s, 3H). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 150.2, 149.9, 138.9, 137.4, 137.2, 130.0, 129.9, 128.7, 127.5, 127.2, 124.5, 124.3, 107.0, 106.5, 56.3, 21.6. **LRMS (ESI)** m/z calcd. for $C_{19}H_{19}O_2$ $[M + H]^+$: 279.1, found: 279.1. **Anal. calcd. For** $C_{19}H_{18}O_2$: C, 81.99; H, 6.52; found: C, 82.08; H, 6.60.

6-(4-Chlorophenyl)-2,3-dimethoxynaphthalene (16c)

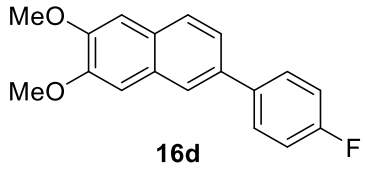


16c

The title compound **16c** was synthesized from substrate **14c** (152 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **16c** as an off-white semi-solid. Yield: 84% (125 mg) over two steps. **^1H NMR (400 MHz, $CDCl_3$):**

δ 7.87 (d, *J* = 2.4 Hz, 1H), 7.76 (d, *J* = 8.8 Hz, 1H), 7.62 (d, *J* = 8.7 Hz, 2H), 7.55 (dd, *J* = 8.4, 1.9 Hz, 1H), 7.43 (d, *J* = 8.8 Hz, 2H), 7.16 (d, *J* = 12.5 Hz, 2H), 4.03 (s, 6H) (2 methoxy groups merged together). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 149.7, 149.5, 139.6, 135.5, 132.9, 129.2, 128.7, 128.3, 128.3, 126.8, 124.1, 123.3, 106.3, 105.8, 55.74, 55.73. **LRMS (ESI)** m/z calcd. for $C_{18}H_{16}ClO_2$ $[M + H]^+$: 299.1, found: 299.1. **Anal. calcd. For** $C_{18}H_{15}ClO_2$: C, 72.36; H, 5.06; found: C, 72.51; H, 5.14.

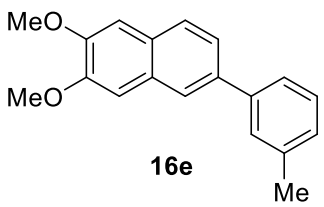
6-(4-Fluorophenyl)-2,3-dimethoxynaphthalene (16d)



16d

The title compound **16d** was synthesized from substrate **14d** (144 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 µL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **16d** as a white semi-solid. Yield: 85% (120 mg) over two steps. **^1H NMR (400 MHz, $CDCl_3$):** δ 7.85 (d, *J* = 2.4 Hz, 1H), 7.75 (d, *J* = 8.9 Hz, 1H), 7.67–7.62 (m, 2H), 7.55 (dd, *J* = 8.4, 1.9 Hz, 1H), 7.19–7.12 (m, 4H), 4.03 (s, 6H, 2 methoxy groups overlapped together). **$^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$):** δ 162.5 (d, *J* = 246.1 Hz), 149.8 (d, *J* = 26.5 Hz), 137.6 (d, *J* = 3.4 Hz), 136.1, 129.5, 128.8 (d, *J* = 7.7 Hz), 128.4, 127.0, 124.3, 123.8, 115.9, 115.7, 106.6, 106.1, 56.0. **^{19}F NMR (100 MHz, $CDCl_3$):** δ -116.08. **LRMS (ESI)** m/z calcd. for $C_{18}H_{16}FO_2$ $[M + H]^+$: 283.1, found: 283.1. **Anal. calcd. For** $C_{18}H_{15}FO_2$: C, 76.58; H, 5.36; found: C, 76.39; H, 5.28.

2,3-Dimethoxy-6-(*m*-tolyl)naphthalene (16e)

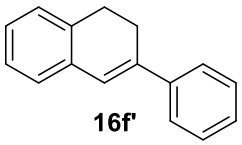


16e

The title compound **16e** was synthesized from substrate **14e** (142 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6

mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **16e** as a white semi-solid. Yield: 80% (111 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.91 (d, *J* = 1.8 Hz, 1H), 7.75 (d, *J* = 8.5 Hz, 1H), 7.61 (dd, *J* = 8.4, 1.8 Hz, 1H), 7.55–7.47 (m, 2H), 7.37 (t, *J* = 7.6 Hz, 1H), 7.21–7.13 (m, 3H), 4.04–4.02 (m, 6H, 2 methoxy groups overlapped together), 2.46 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 149.9, 149.6, 141.4, 138.4, 137.2, 129.5, 128.8, 128.5, 128.1, 127.9, 126.8, 124.4, 124.0, 106.7, 106.2, 56.0, 21.7. **LRMS (ESI)** m/z calcd. for $C_{19}H_{19}O_2$ $[M + H]^+$: 279.1, found: 279.1. **Anal. calcd. For** $C_{19}H_{18}O_2$: C, 81.99; H, 6.52; found: C, 82.12; H, 6.65.

3-Phenyl-1,2-dihydronaphthalene (16f')



16f'

The title compound **16f'** was synthesized from substrate **14f** (105 mg, 0.5 mmol, 1.0 equiv), trimethylsulfoxonium iodide (132 mg, 0.6 mmol, 1.2 equiv), and NaH (15 mg, 0.6 mmol, 1.2 equiv) in the first step, followed by treatment with $BF_3{\cdot}OEt_2$ (74 μL, 0.6 mmol, 1.2 equiv) in the second step, according to General Procedure C. The crude product was purified by silica gel column chromatography (2–10% EtOAc/hexanes) to afford **16f'** as a white semi-solid. Yield: 70% (72 mg) over two steps. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.58–7.55 (m, 2H), 7.42–7.37 (m, 2H), 7.32–7.28 (m, 1H), 7.21–7.14 (m, 4H), 6.88 (s, 1H), 3.00–2.96 (m, 2H), 2.80–2.75 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$):** δ 141.6, 139.1, 135.2, 135.2, 128.9, 127.8, 127.7, 127.4, 127.0, 125.6, 124.8, 28.6, 26.8. **Anal. calcd. For** $C_{16}H_{14}$: C, 93.16; H, 6.84; found: C, 93.33; H, 6.97.

3. X-Ray Crystallography

- Methods to cultivate the crystals of product **3g**:

The pure product **3g** (15 mg) was dissolved in 10% EtOAc in hexane (5 mL) in a 10 mL glass vial and the resultant solution was kept for 5 days at room temperature.

- X-ray crystallography:

X-ray reflections were collected on a Bruker APEX-II, CCD diffractometer using Mo Kα (λ = 0.71073 Å) radiation. Data reduction was performed using Bruker SAINT Software.[2] Intensities for absorption were corrected using SADABS-2014/2. Structures were solved in Olex2-1.5- alpha software[3] using ShelXT settings and refined using ShelXL-2014 settings with anisotropic displacement parameters for non-H atoms. A check of the final CIF file using PLATON did not show any missed symmetry.[4,5] The crystallographic parameters for the structure of **3g** are summarized in table SI-1 and the corresponding ORTEP diagrams are shown in Figure S3.

Table SI-1: Crystallographic data of **3g**

Crystal data	**3g**
Formula unit	C_{19} H_{16} F N
Formula wt.	277.33
Crystal system	orthorhombic
T [K]	296
a [Å]	14.44(11)
b [Å]	7.72(6)
c [Å]	26.4(2)
α [°]	90
β [°]	90
γ [°]	90
Volume [Å^3]	2943(39)
Space group	P b c a
Z	8
D_{calc} [g cm^{-3}]	1.252
μ/mm^{-1}	0.081
Reflns. Collected	3004
Observed reflns.	989
R_1 [I>2σ(I)], wR_2	0.0901, 0.2323

GOOF	0.979
Instrument	Bruker APEX-II CCD
X-ray	MoK\a
CCDC Reference No.	2497335

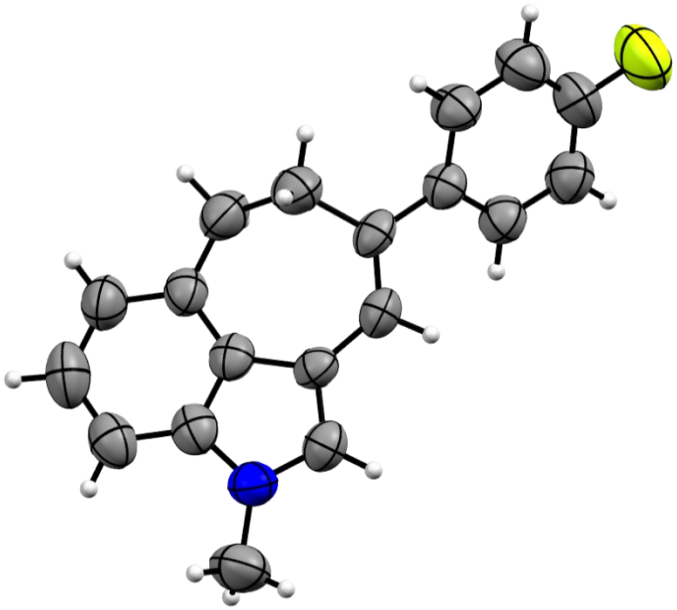
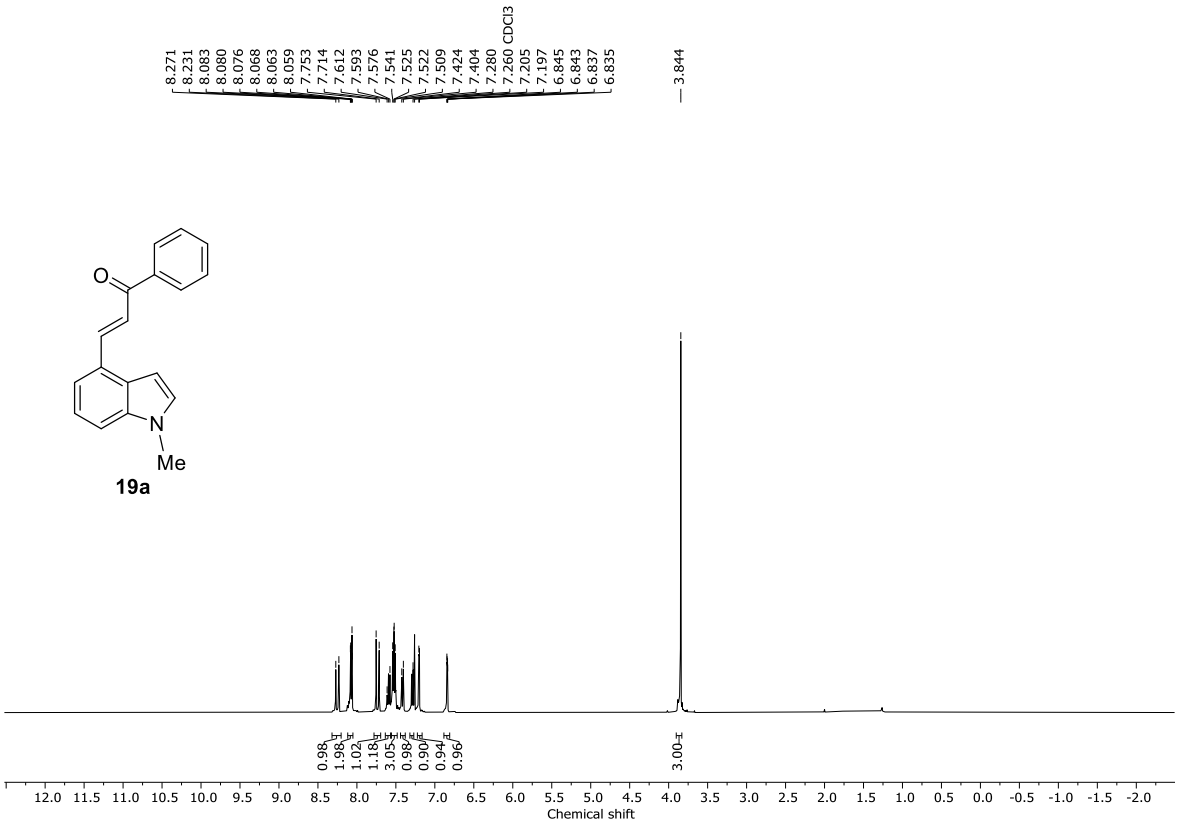


Figure S3: ORTEP diagram of compound **3g** with 50% probability ellipsoid

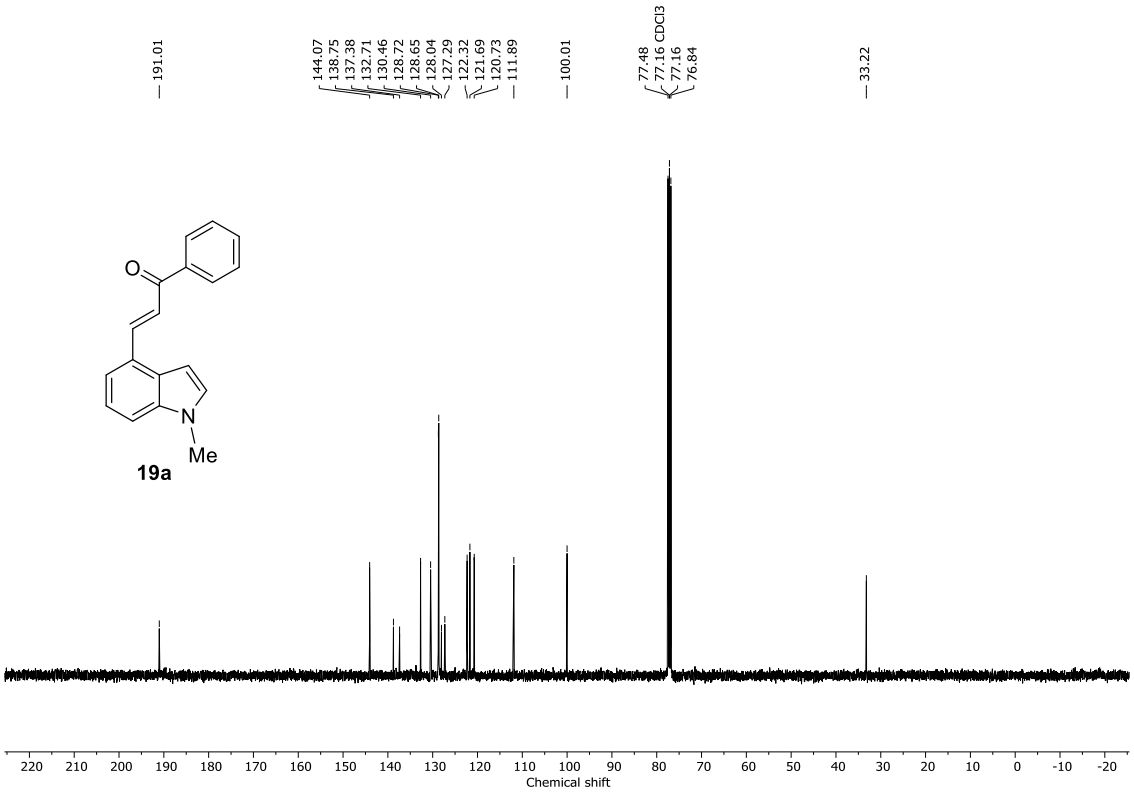
4. References

1. A. Gogoi, R. Chouhan and S. K. Das, *Org. Lett.*, 2025, **27**, 2461.
2. SAINT Plus, Bruker AXS Inc.: Madison, WI, 2008; BRUKER AXS (v 6.14).
3. O. V. Dolomanov, L. J. Bourhis, R. J. Gildea, J. A. K. Howard and H. Puschmann, *J. Appl. Cryst*. 2009, **42**, 339.
4. PLATON, A Multipurpose Crystallographic Tool; Spek, A. L. Utrecht University: Utrecht, Netherland, 2002.
5. A. L. Spek, *J. Appl. Crystallogr.* 2003, **36**, 7.

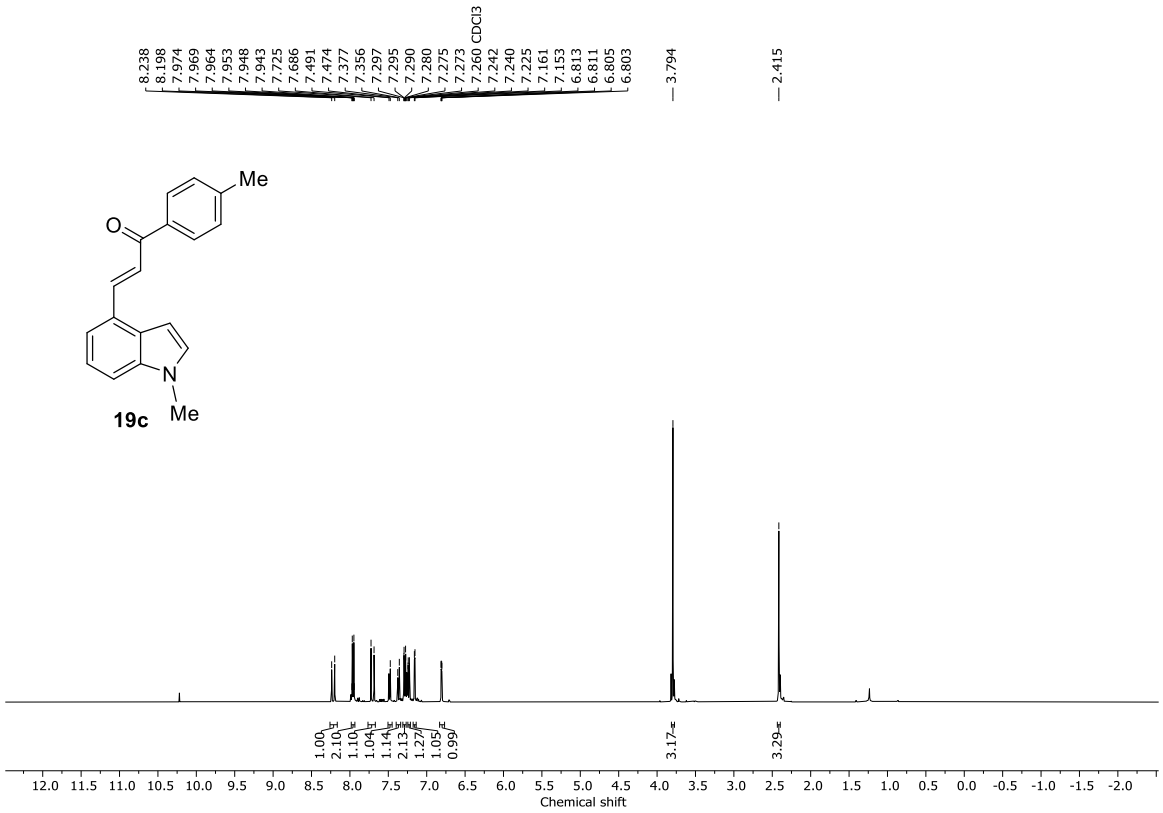
6. NMR spectra of compounds



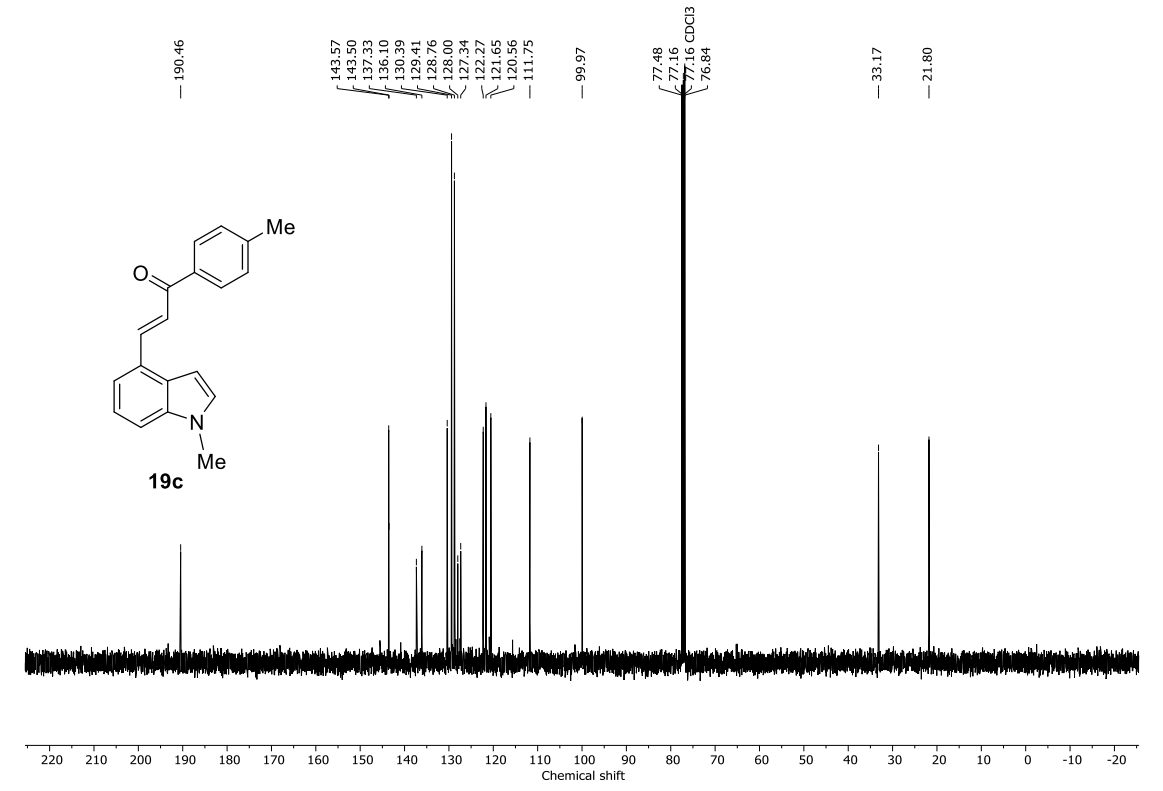
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19a**.



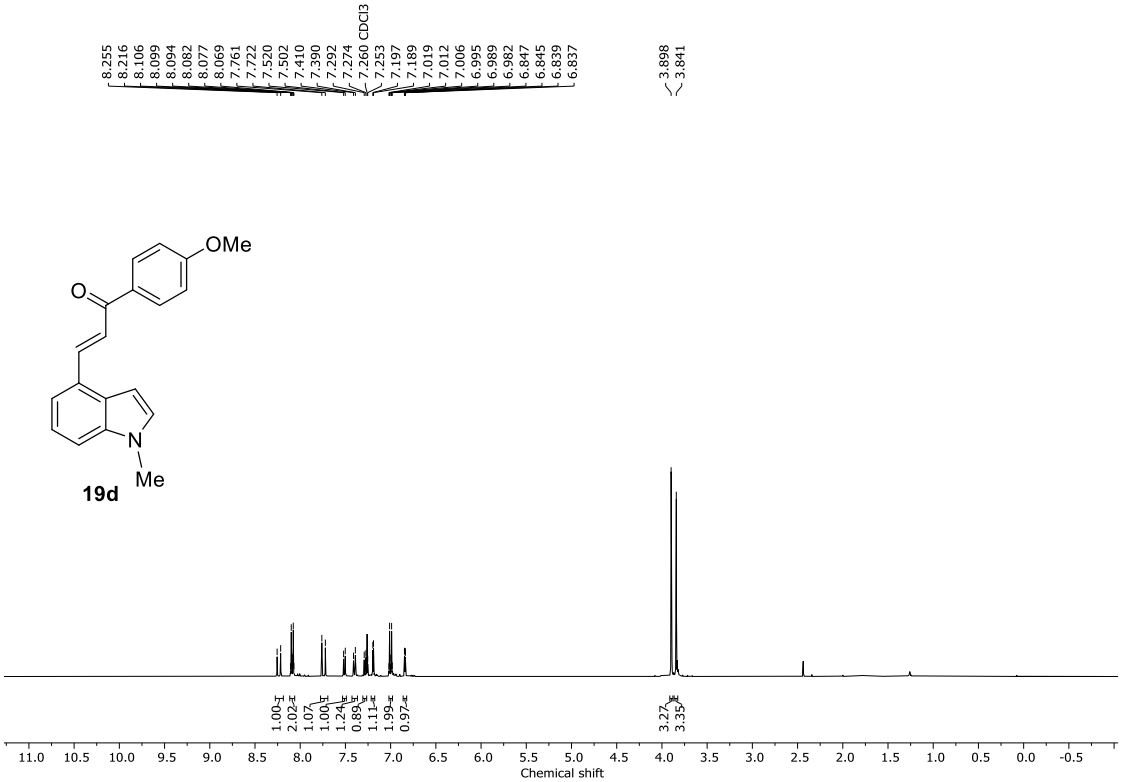
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19a**.



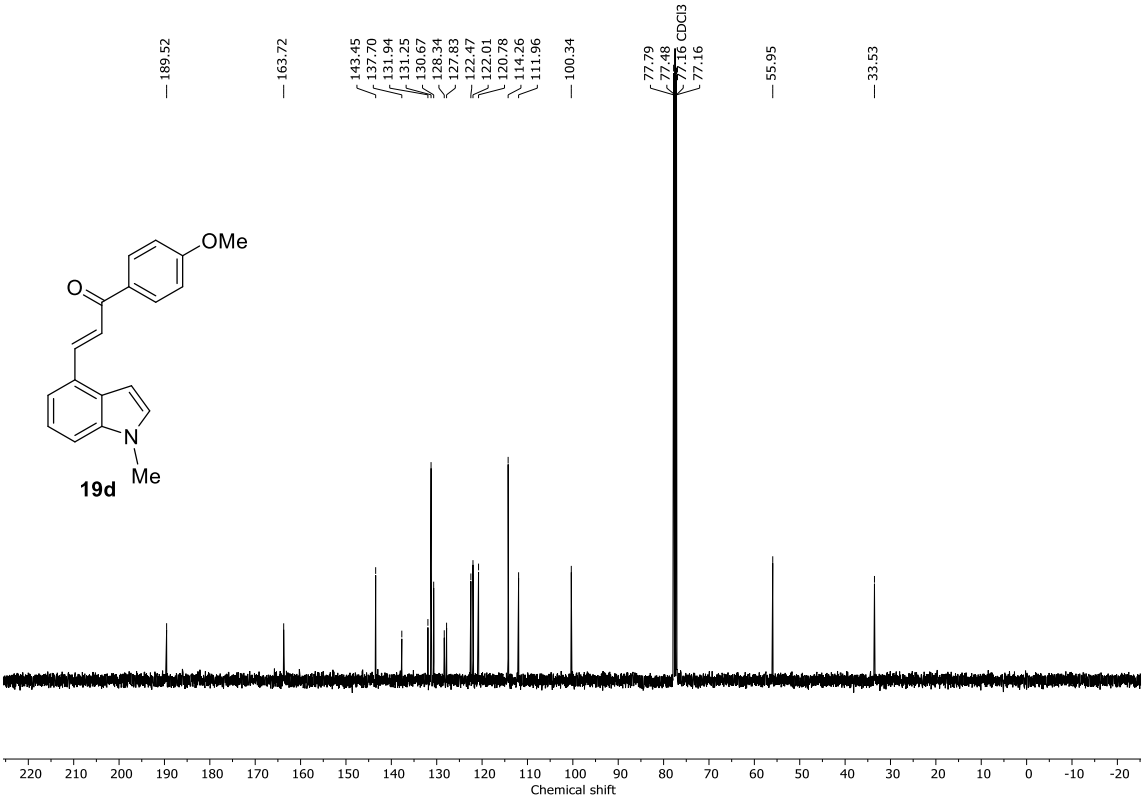
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19c**.



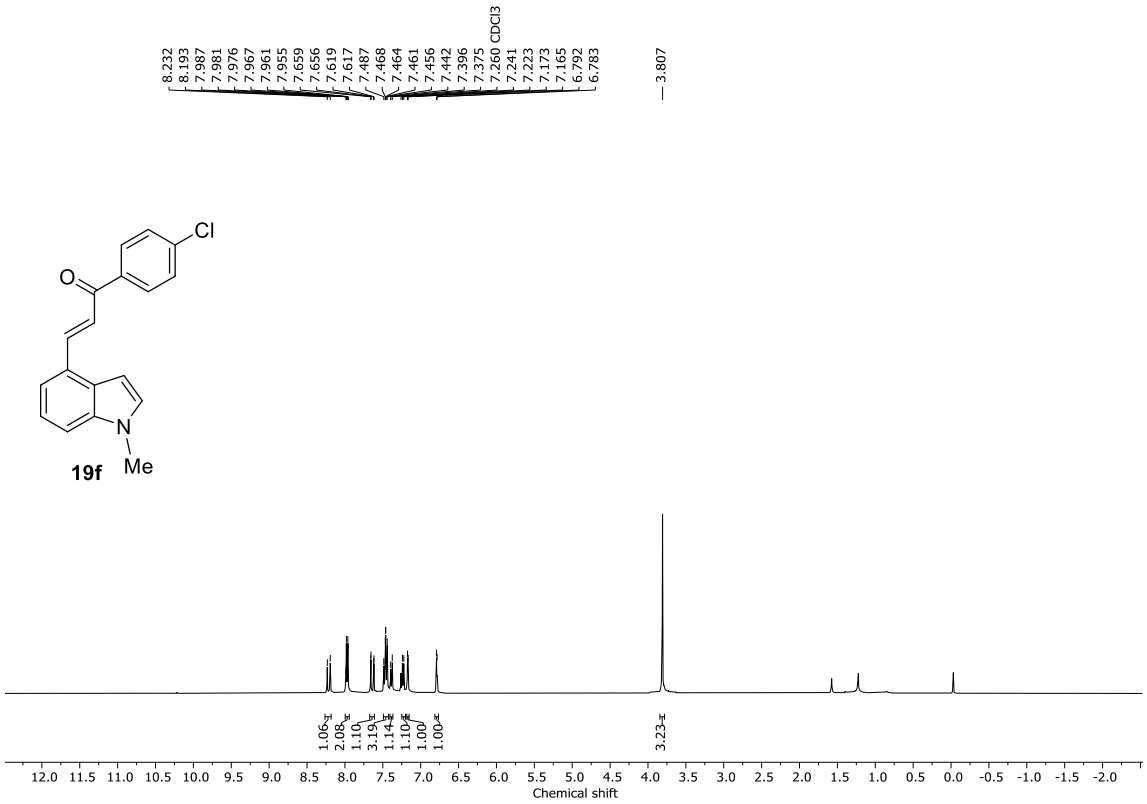
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra of **19c**.



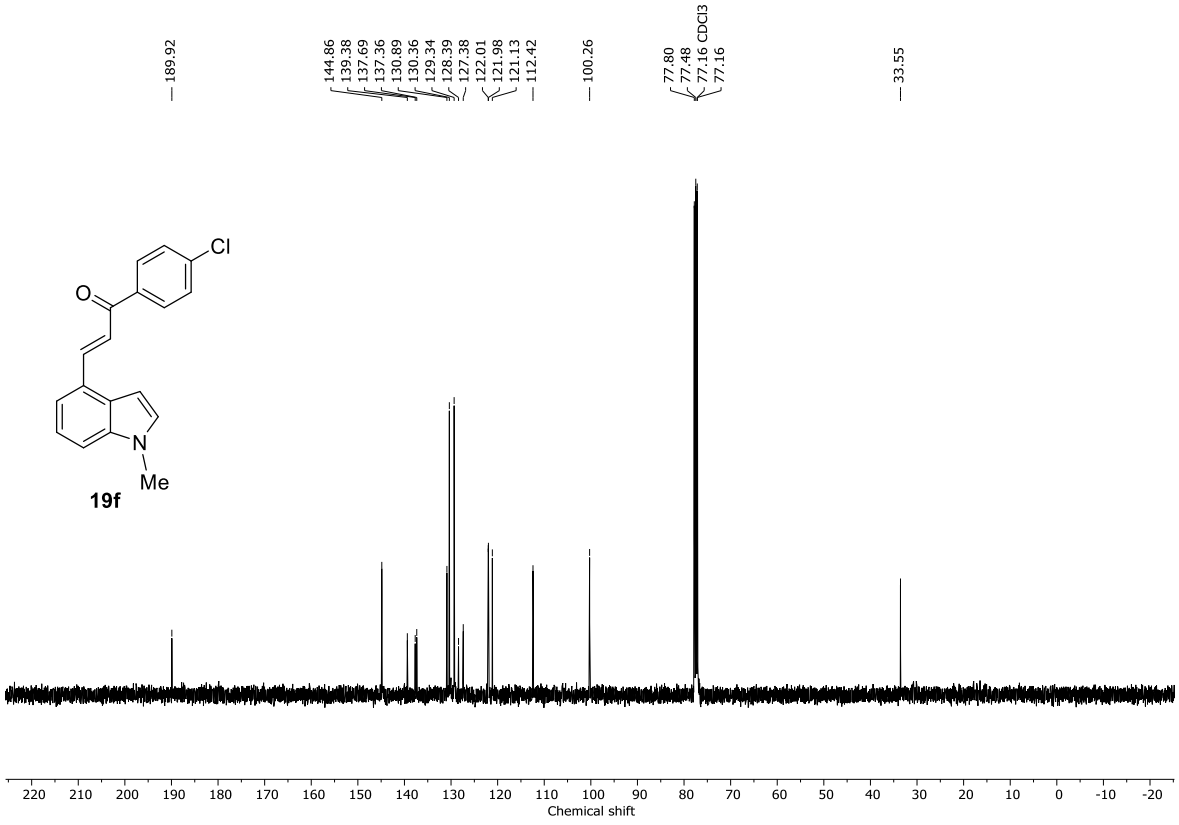
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19d**.



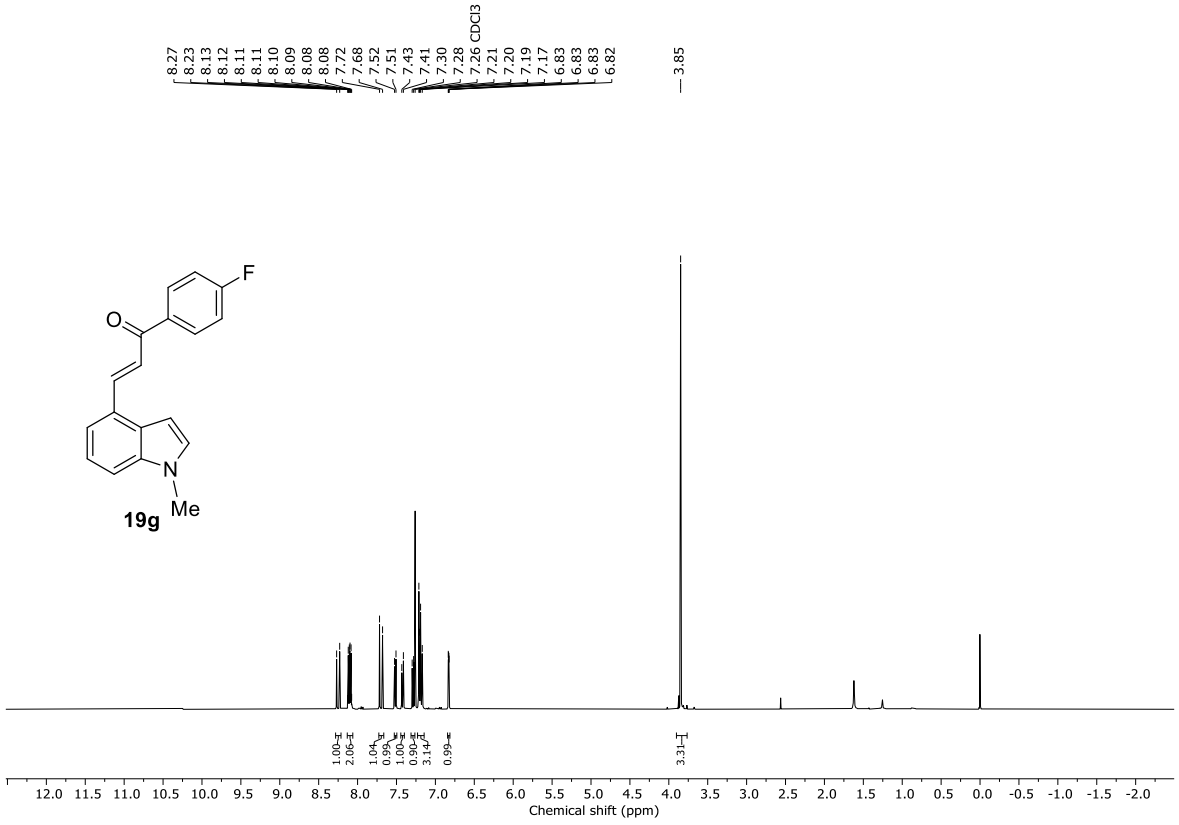
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19d**.



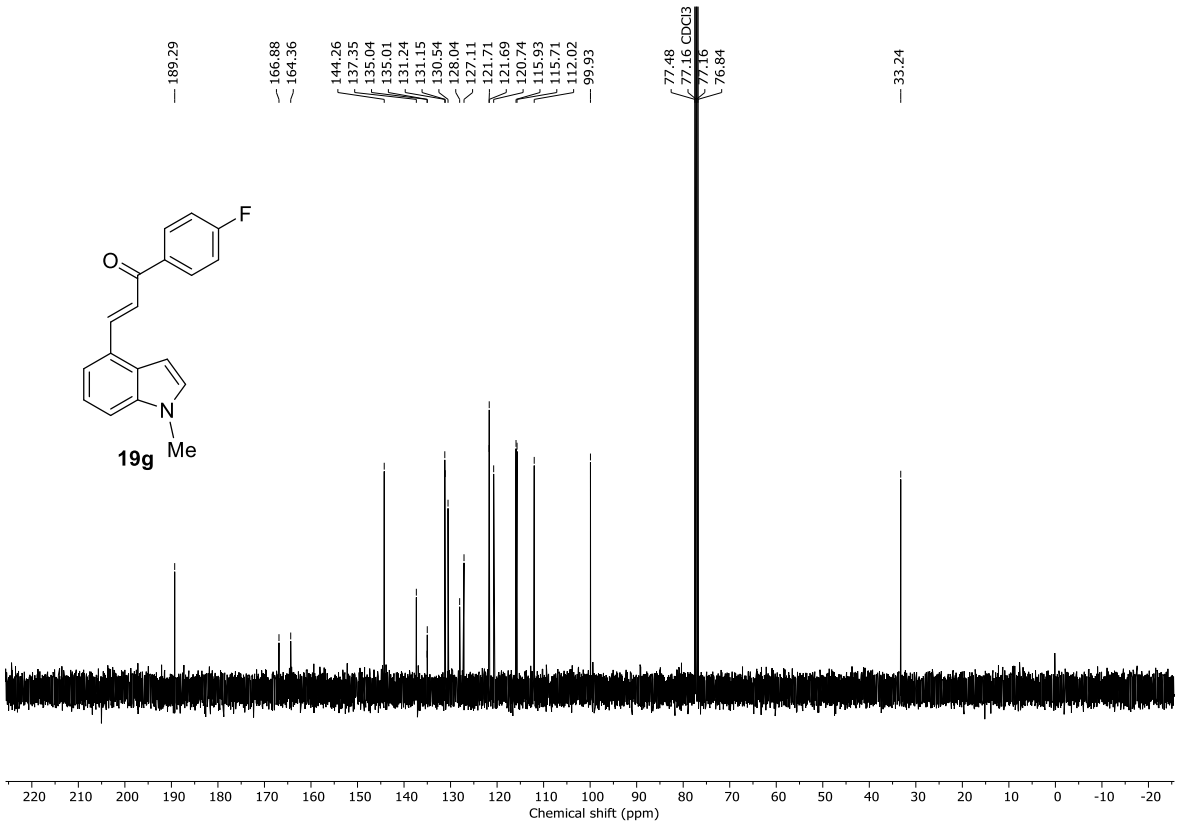
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19f**.



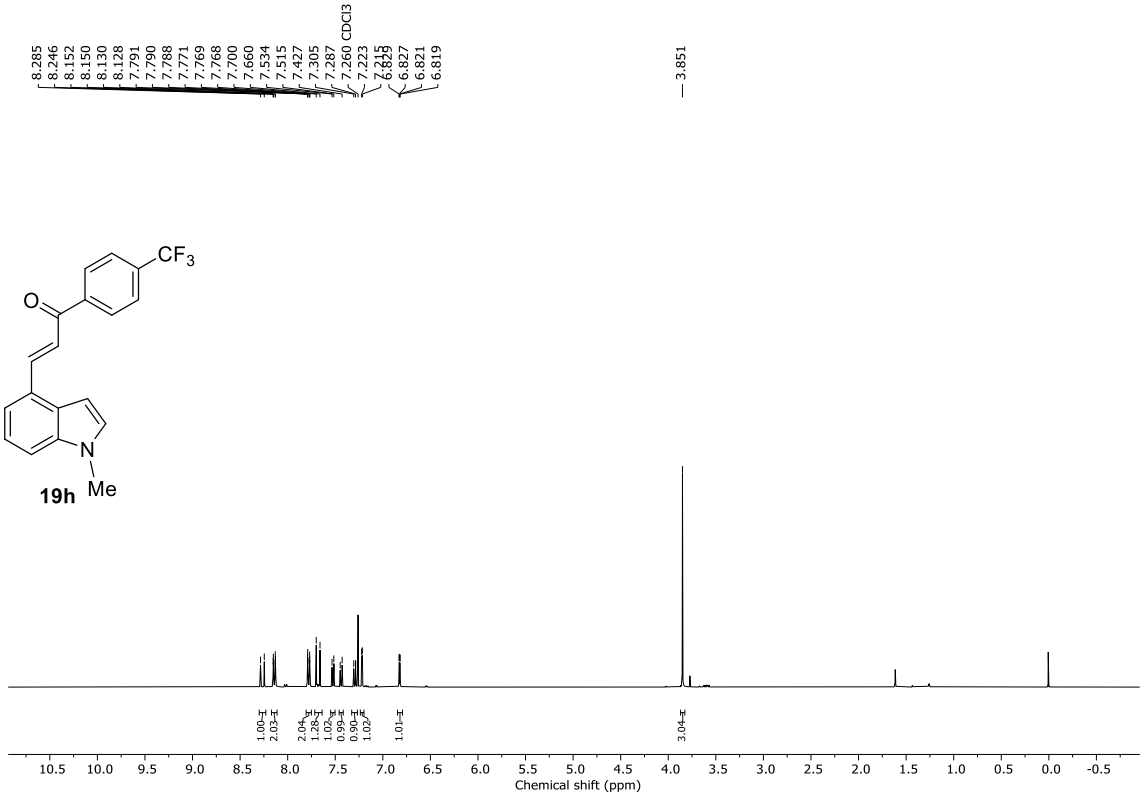
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19f**.



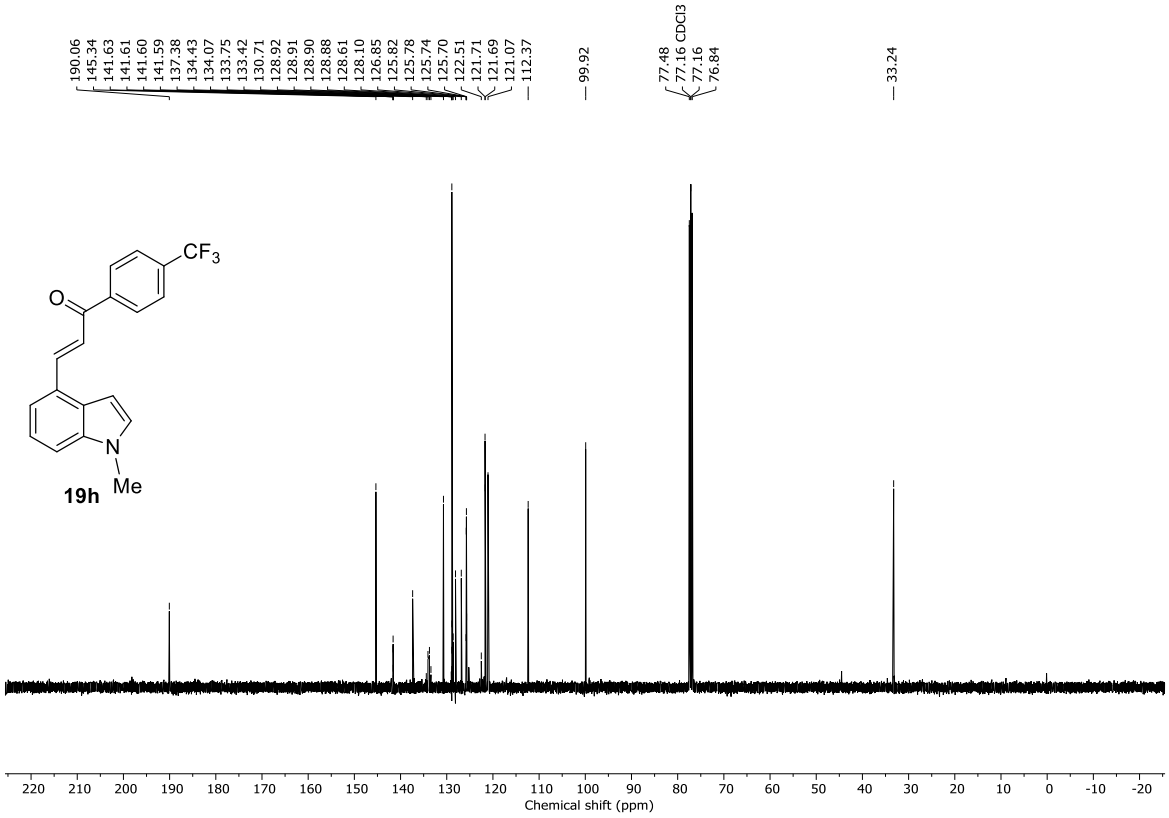
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19g**.



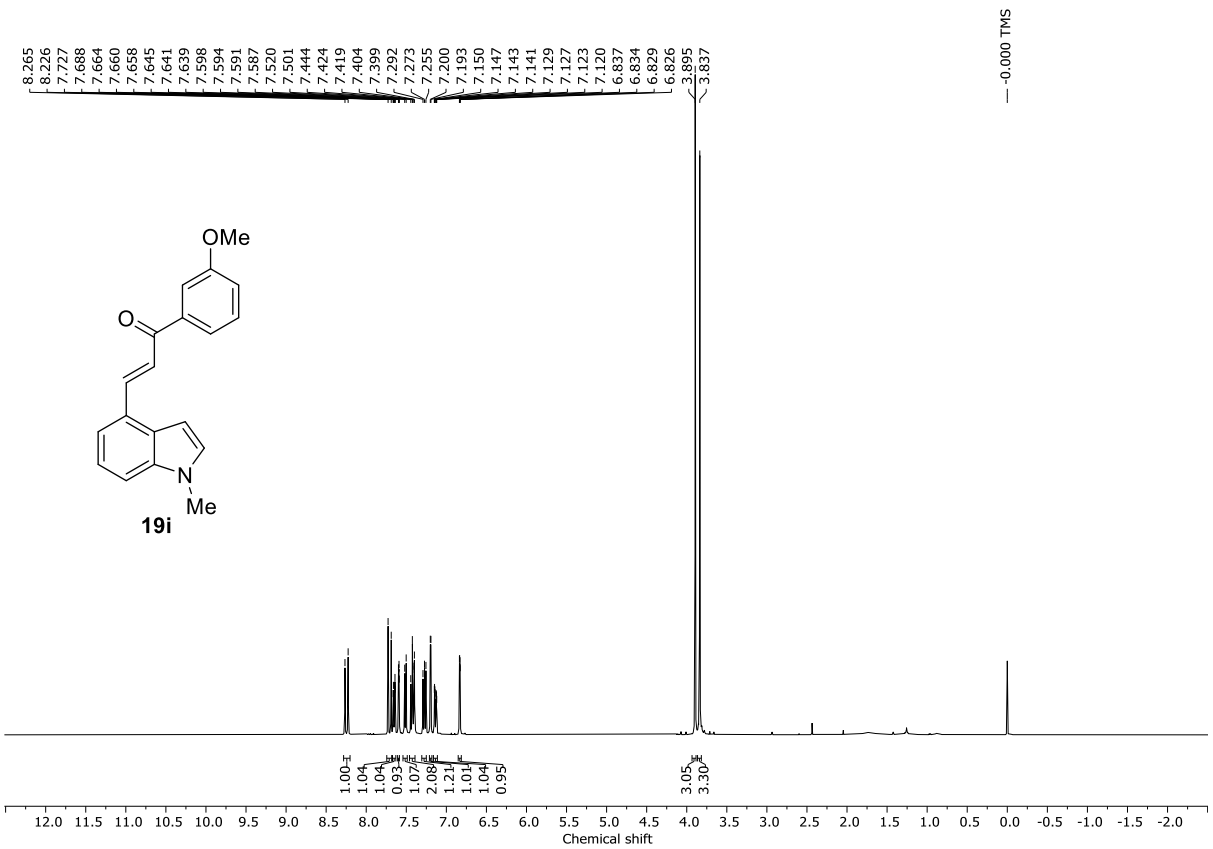
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19g**.



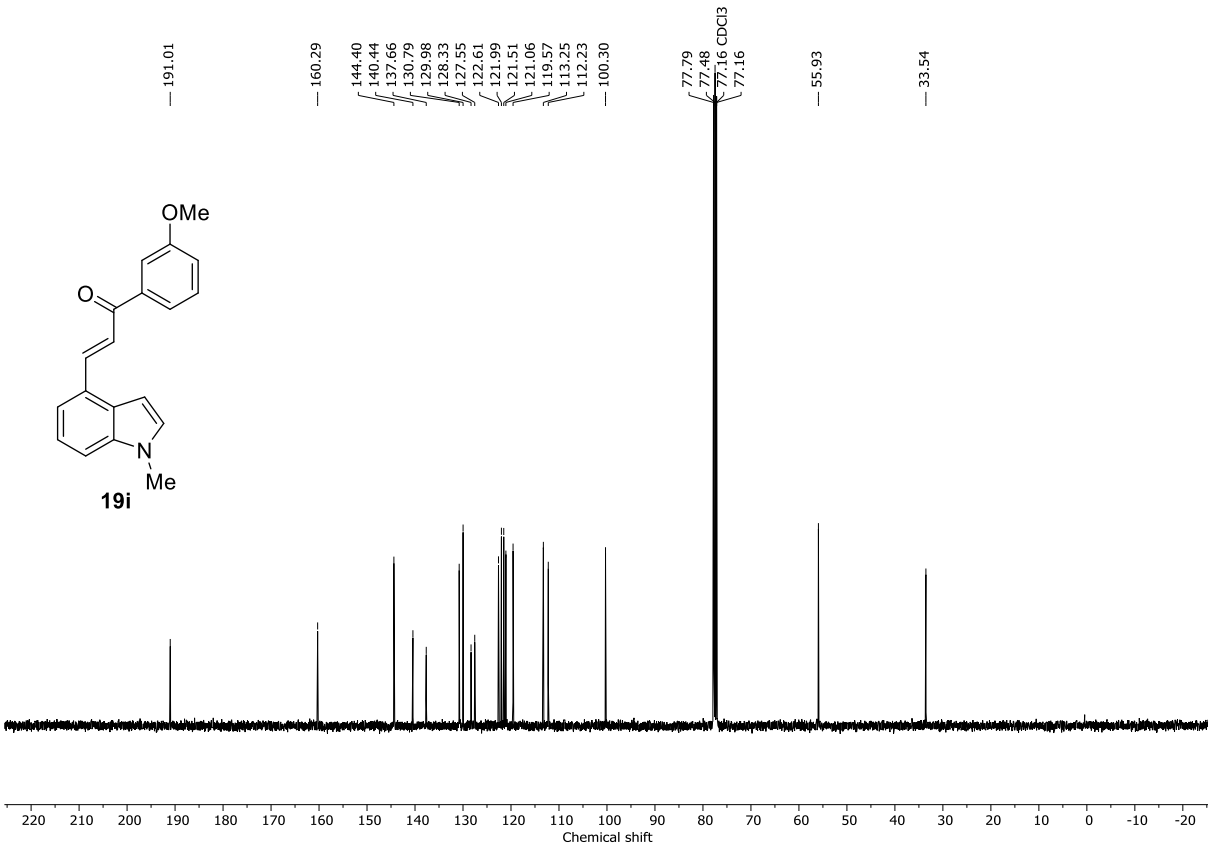
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19h**.



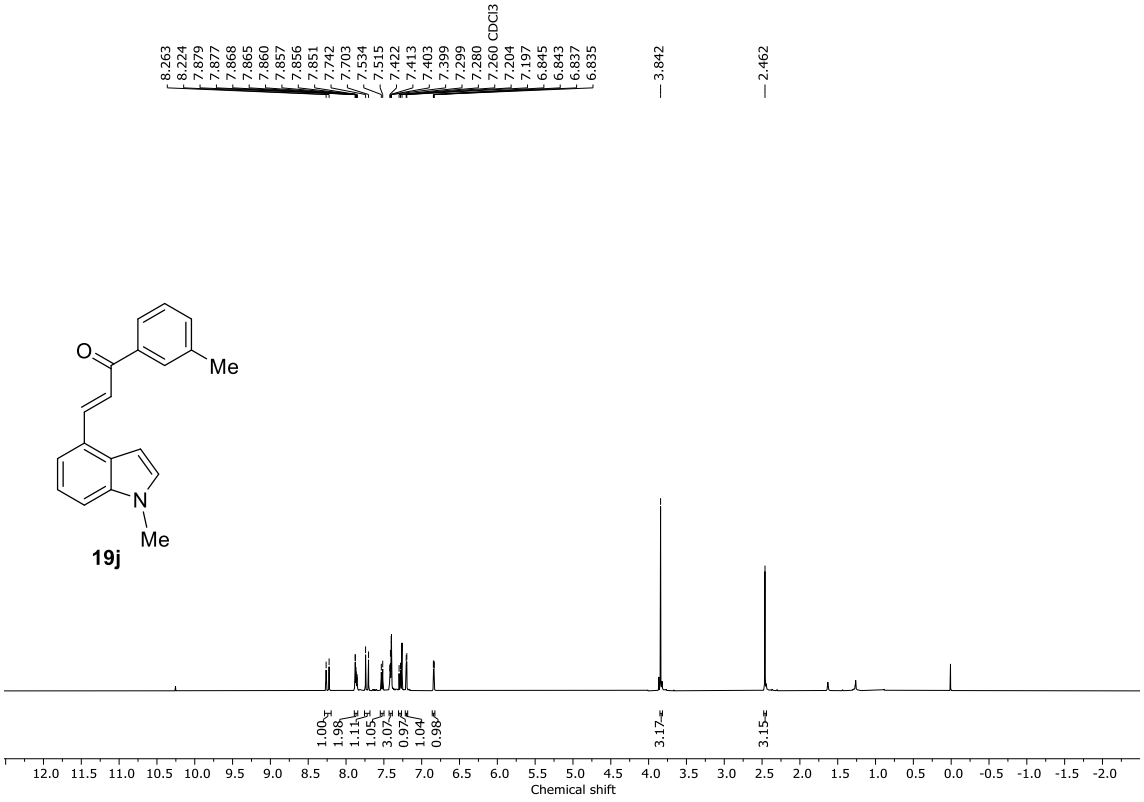
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19h**.



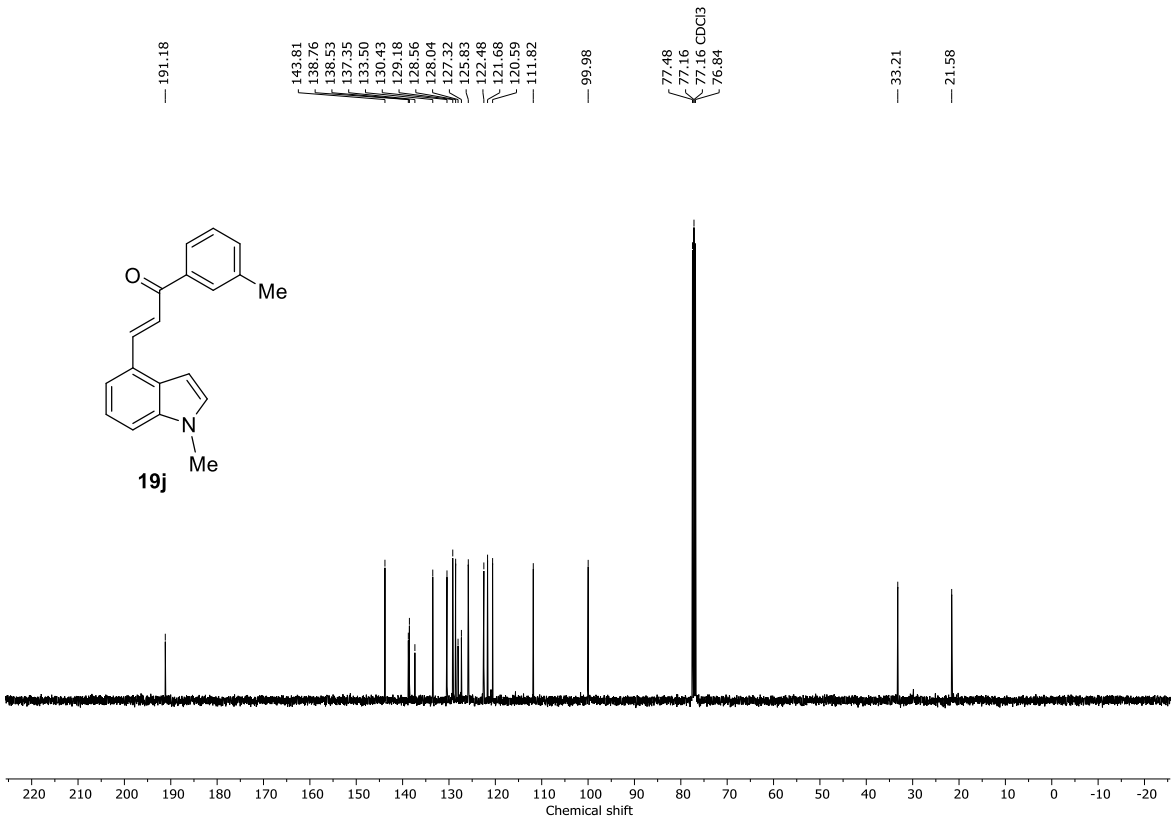
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19i**.



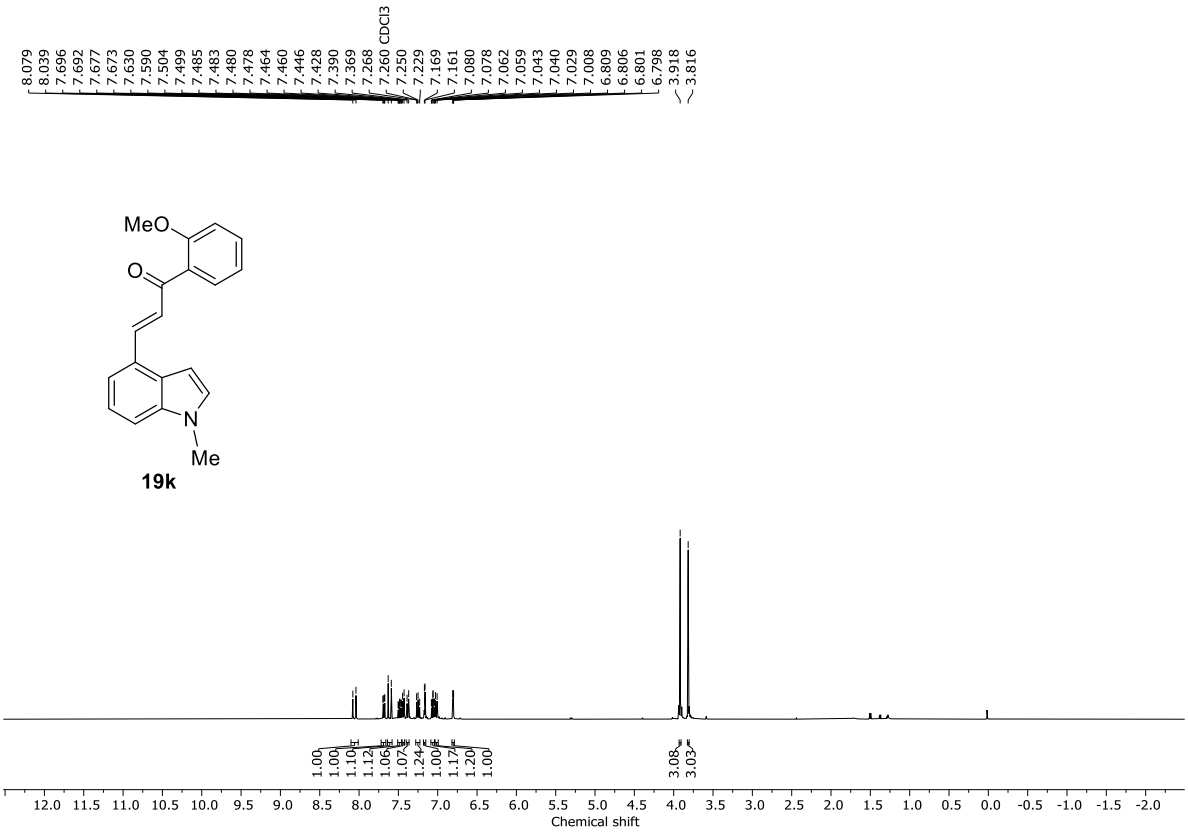
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of **19i**.



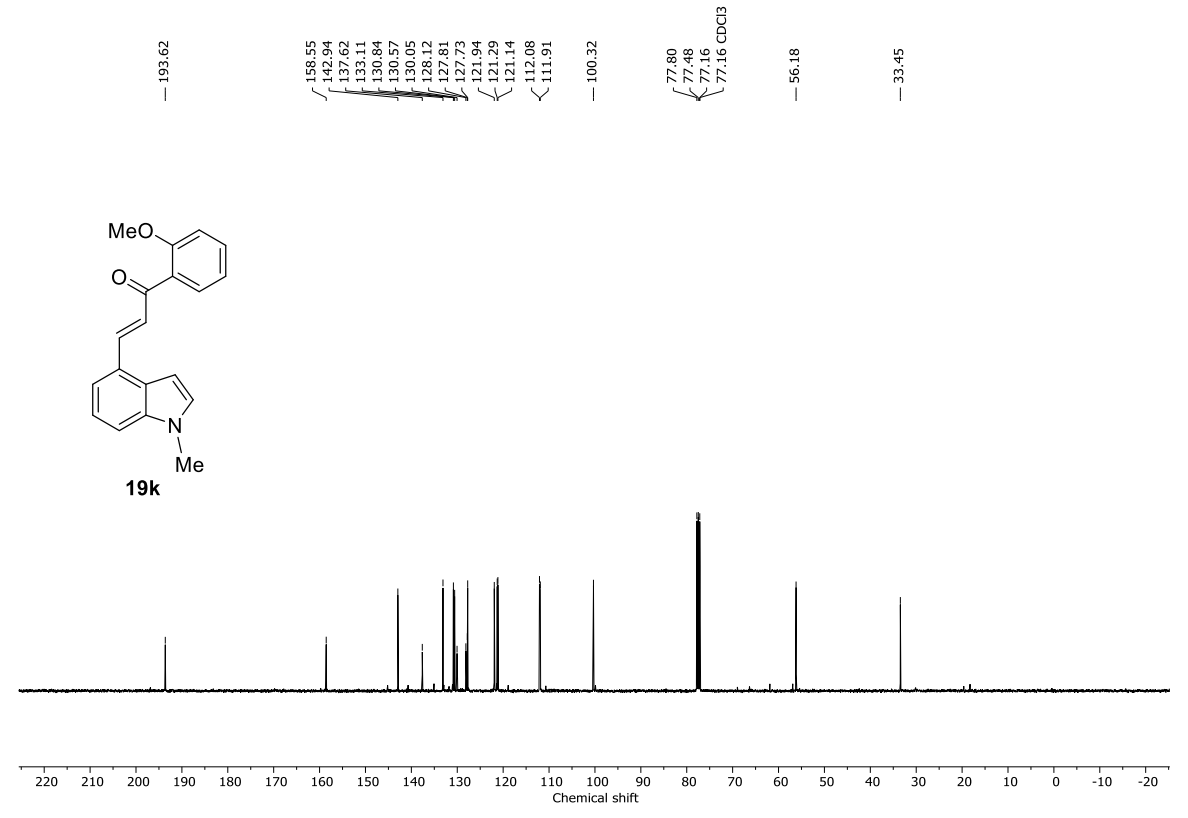
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19j**.



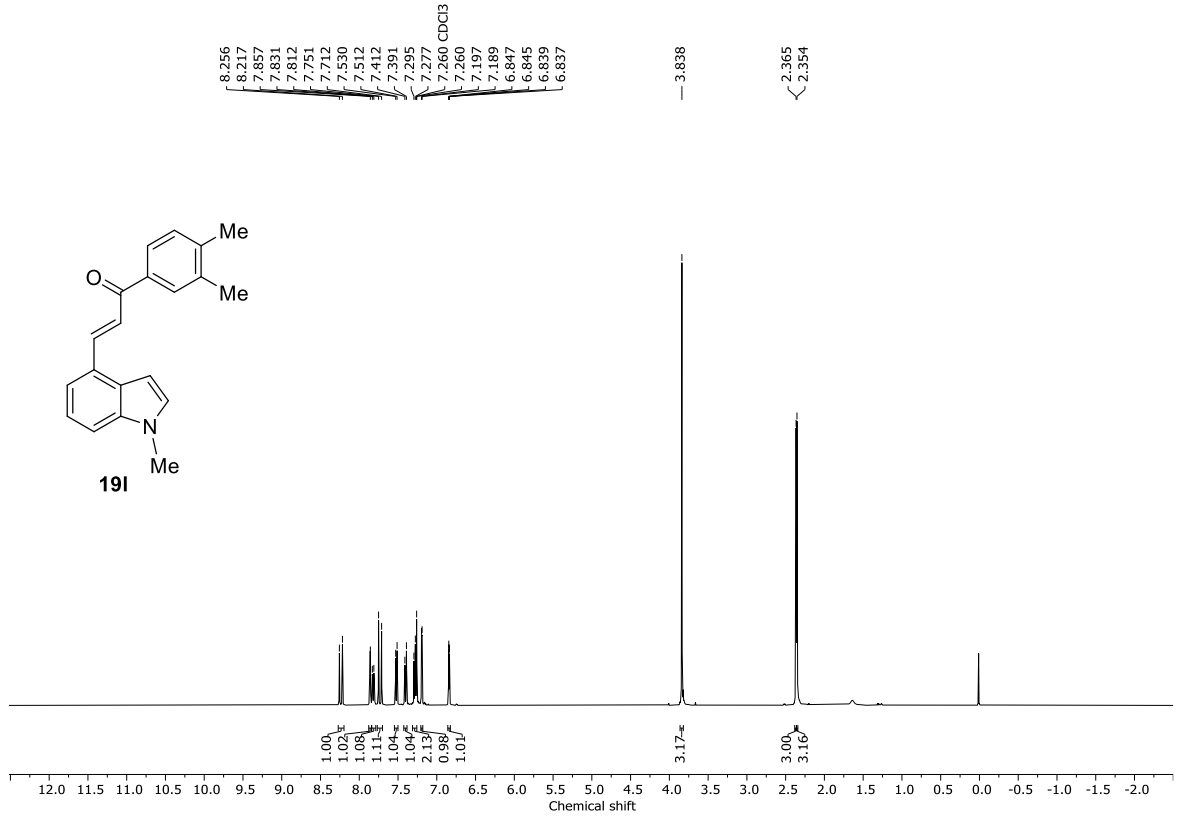
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19j**.



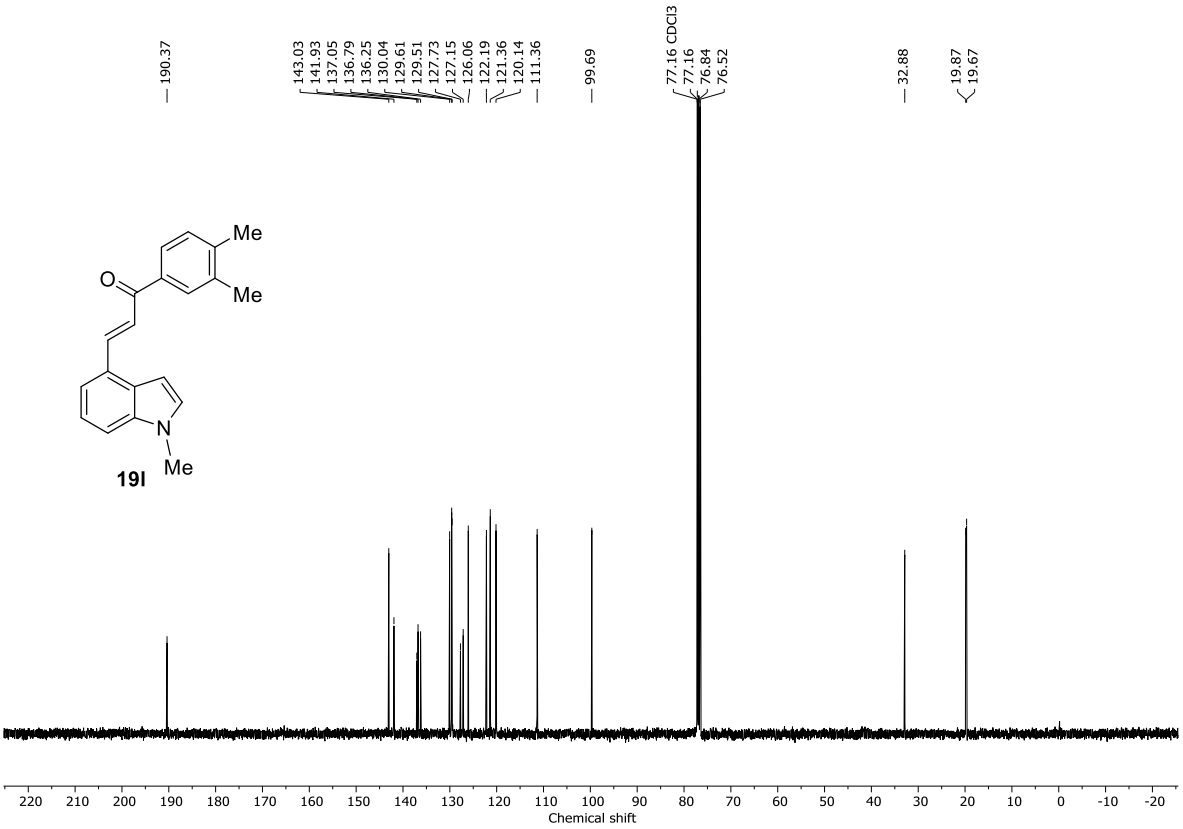
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19k**.



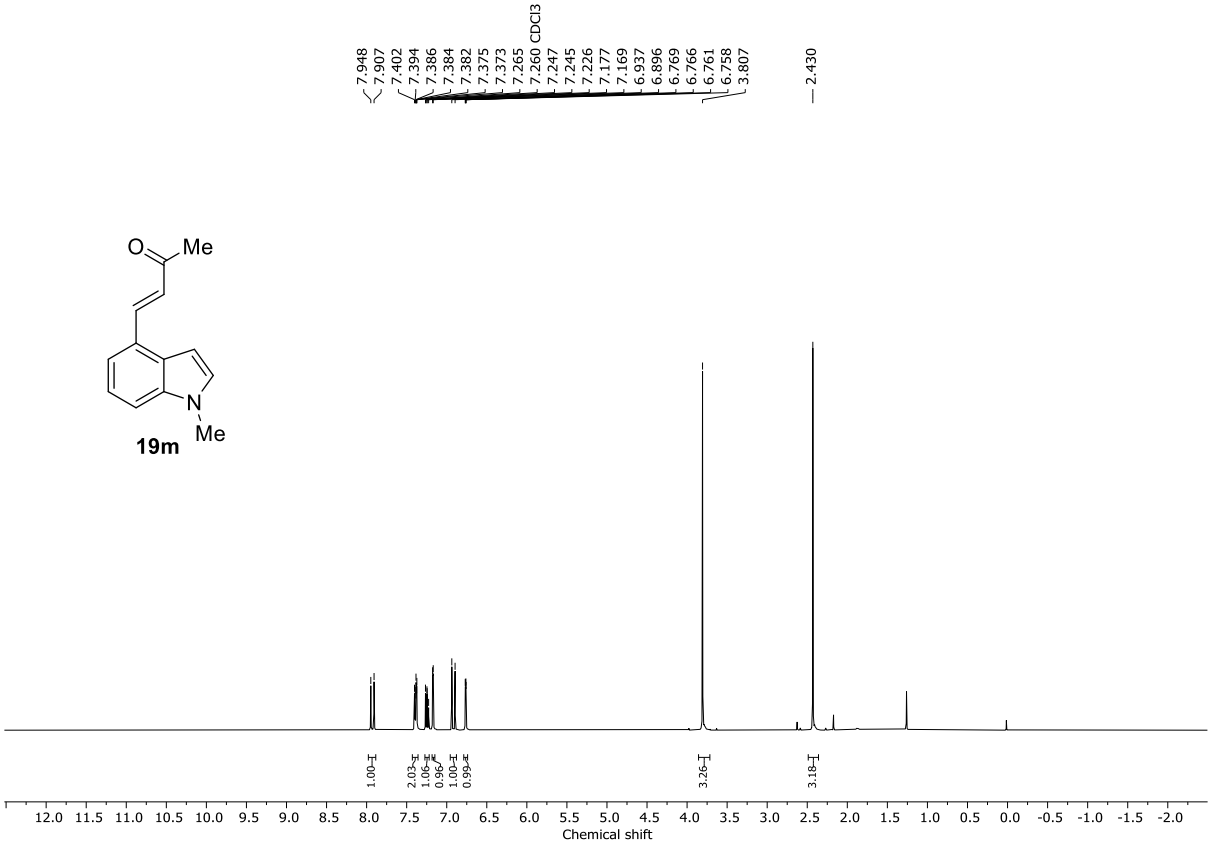
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19k**.



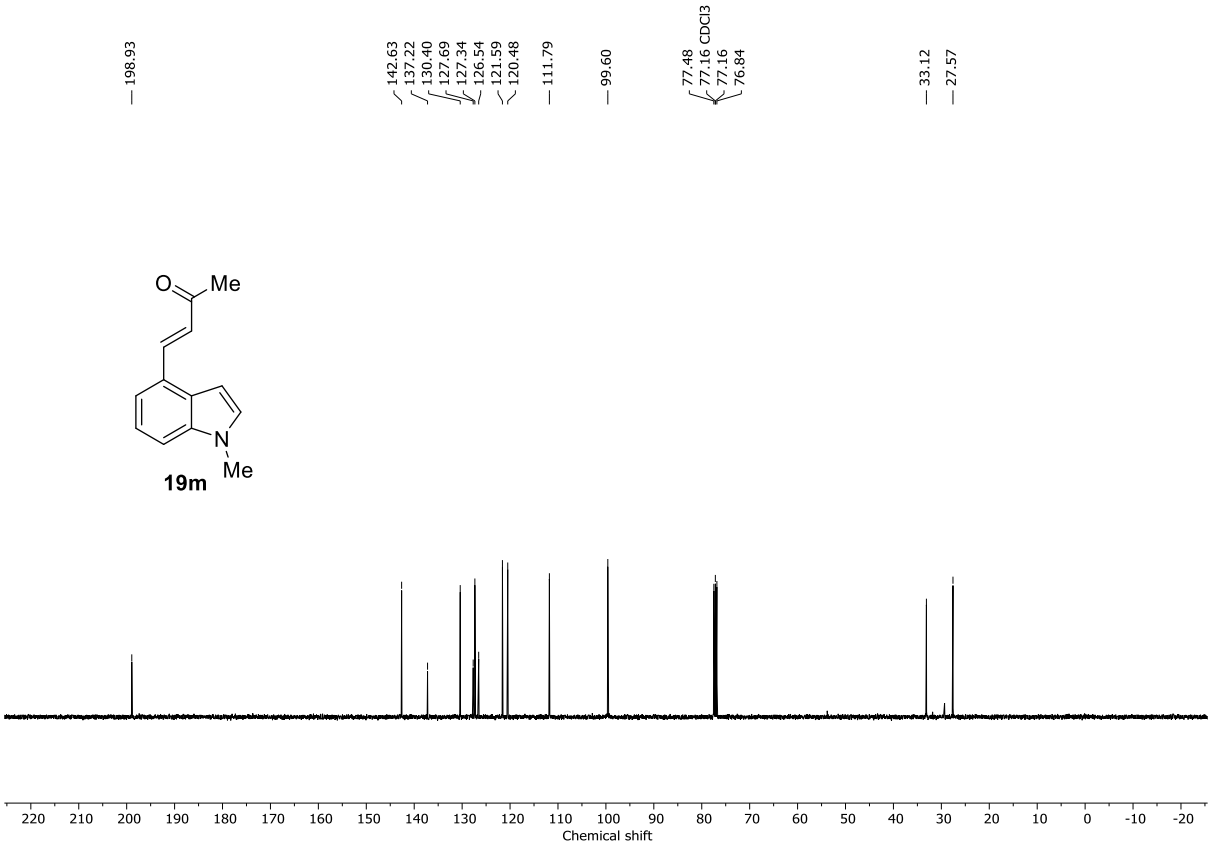
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19l**.



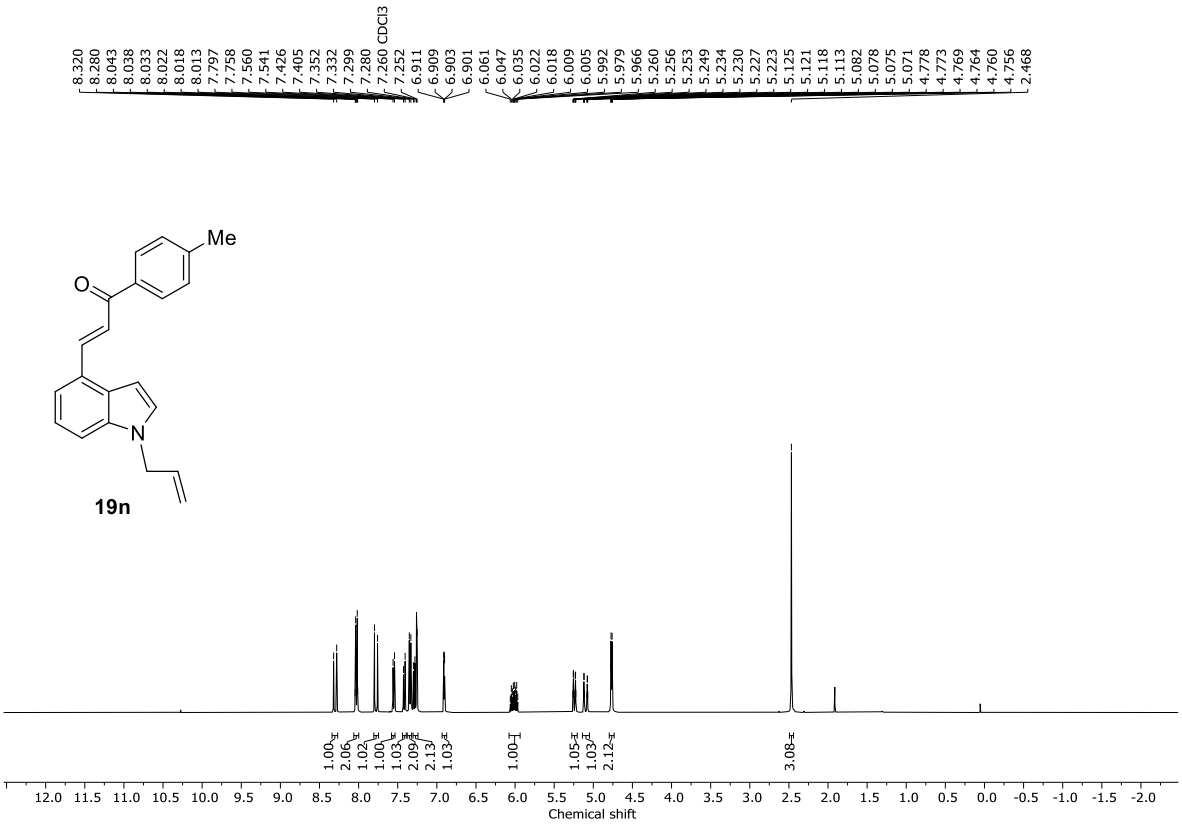
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19l**.



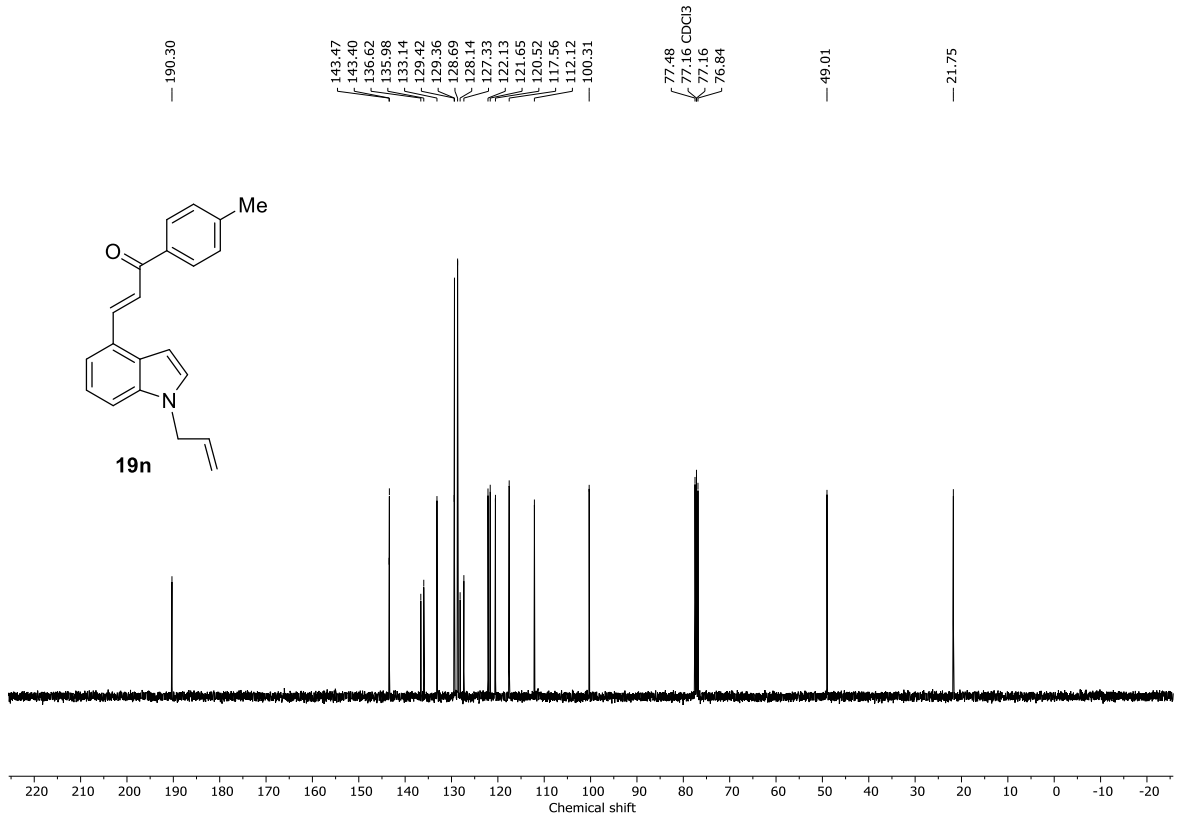
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19m**.



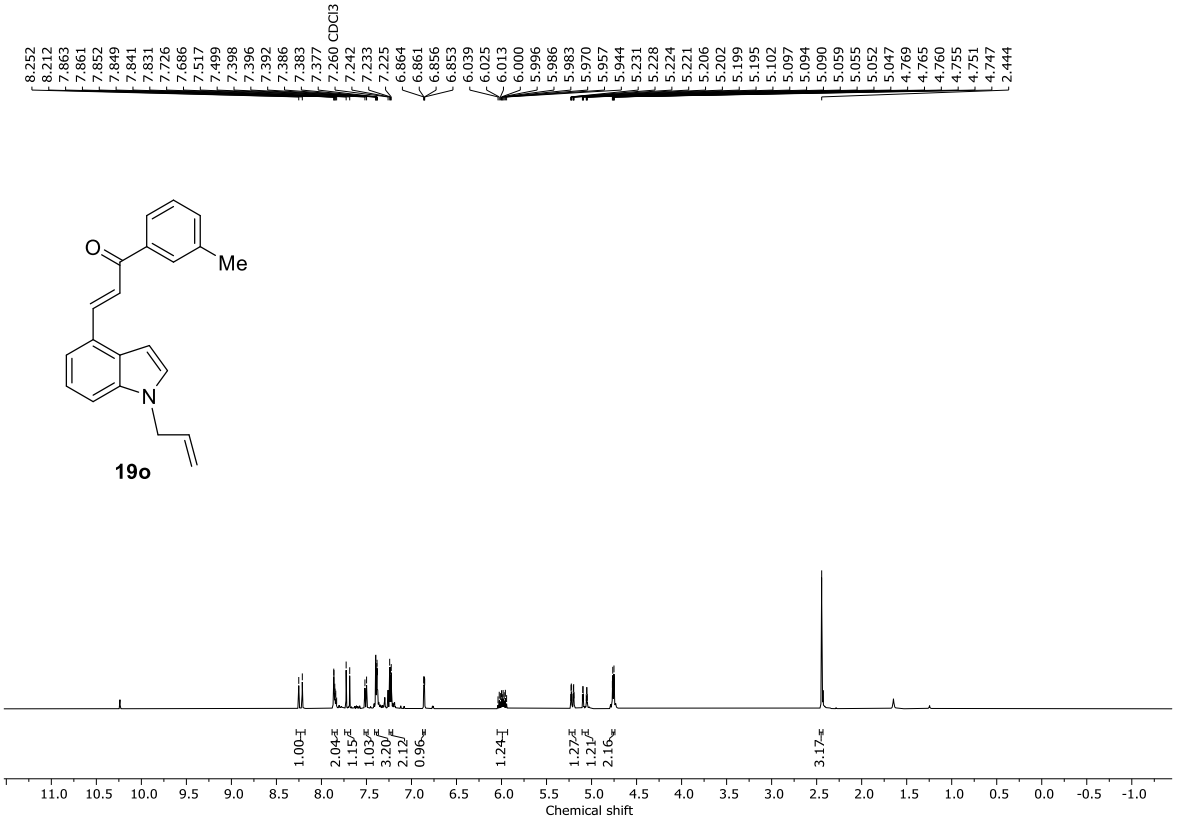
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of **19m**.



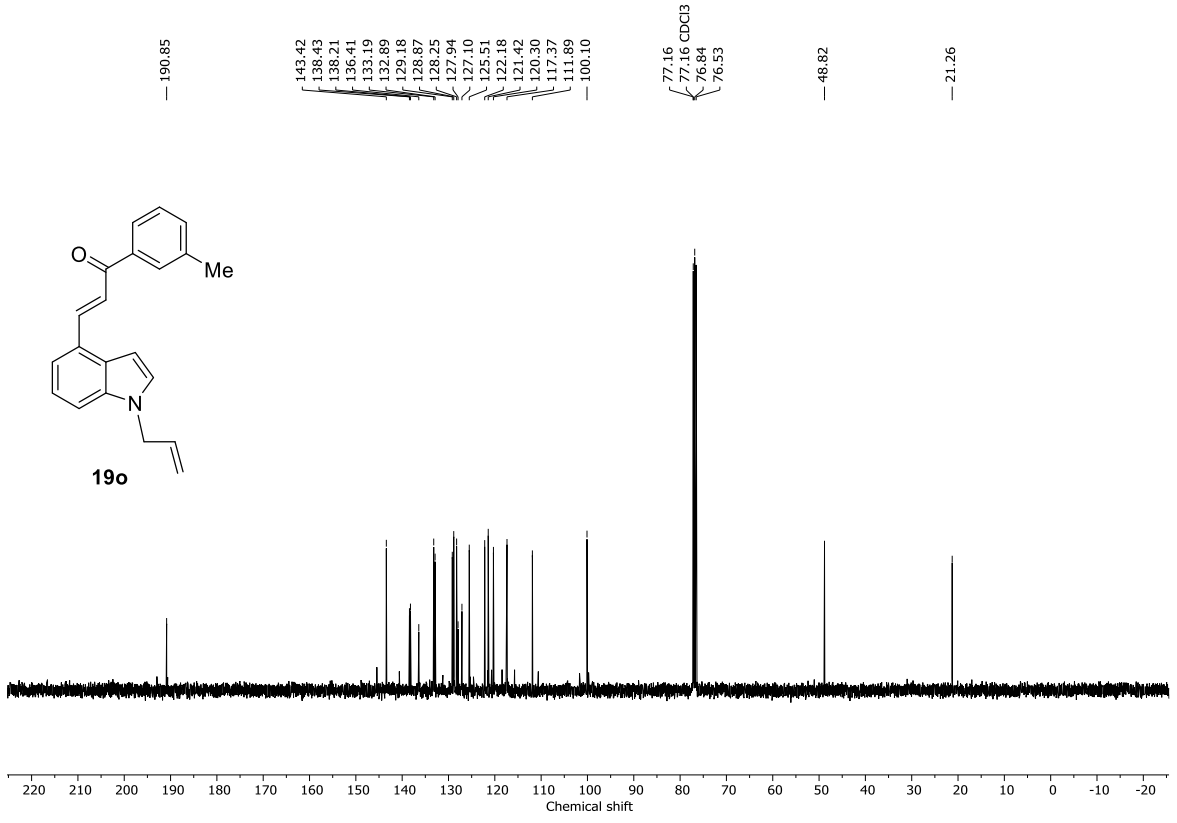
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19n**.



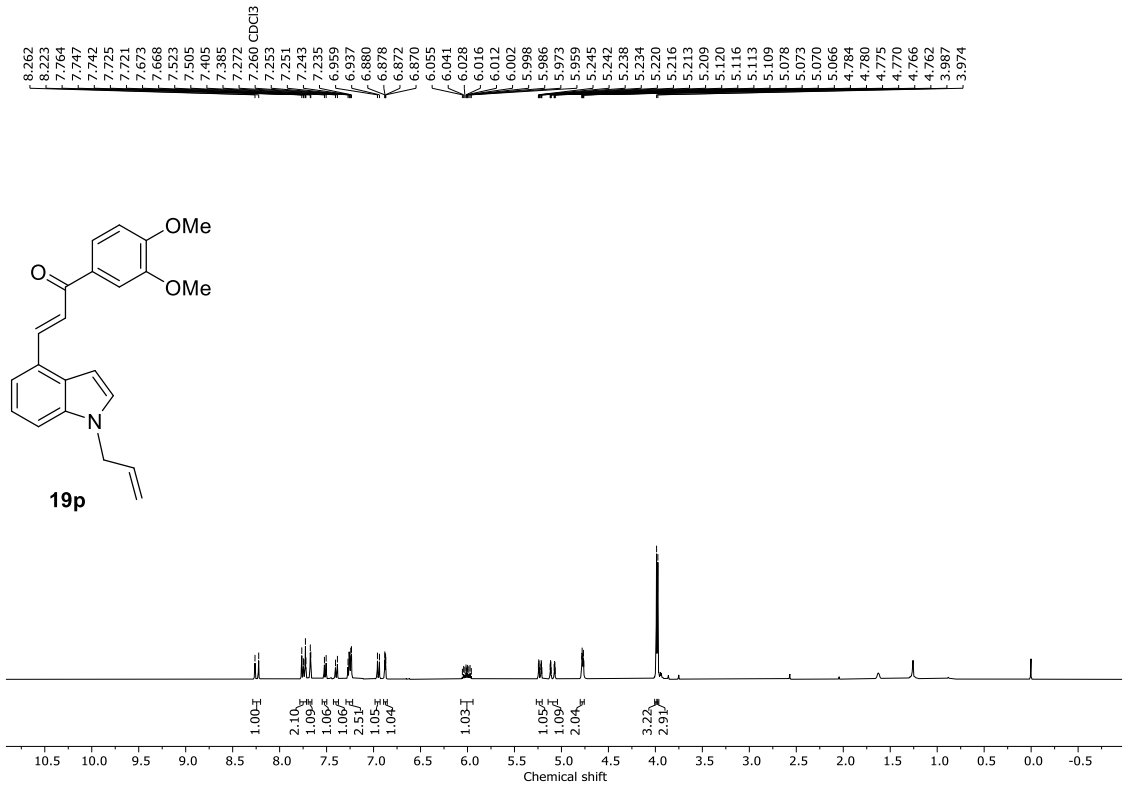
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19n**.



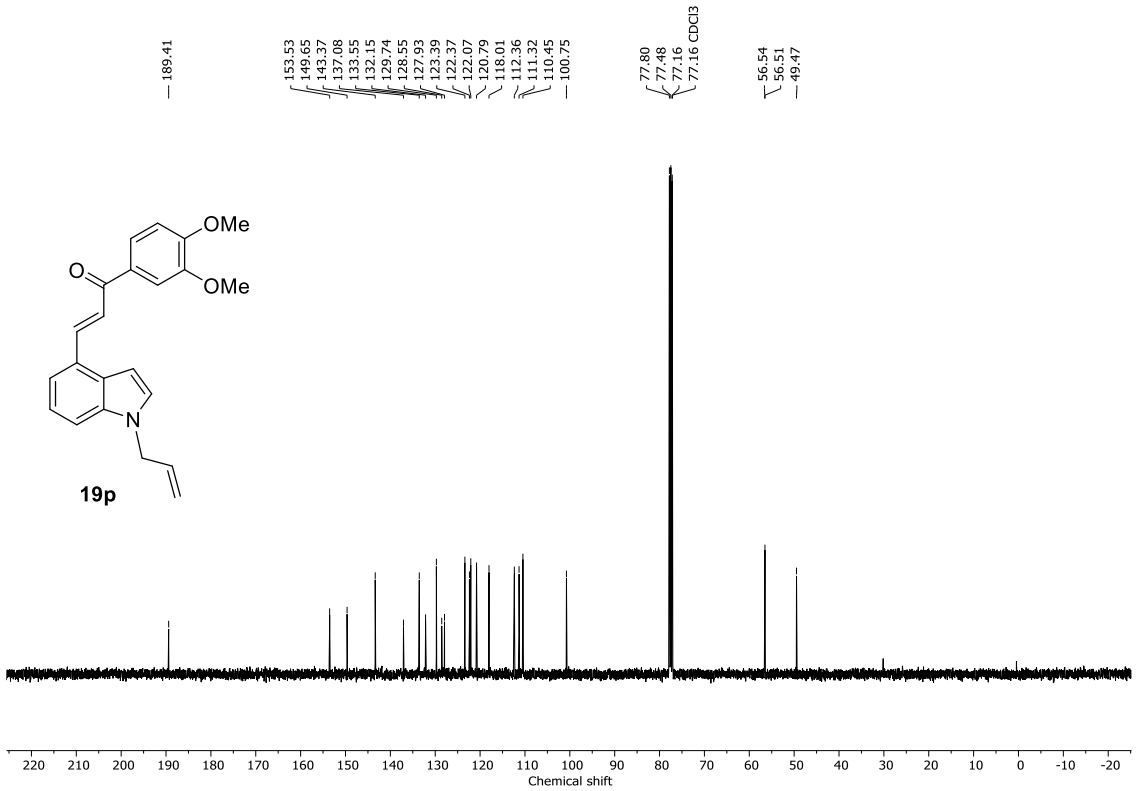
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19o**.



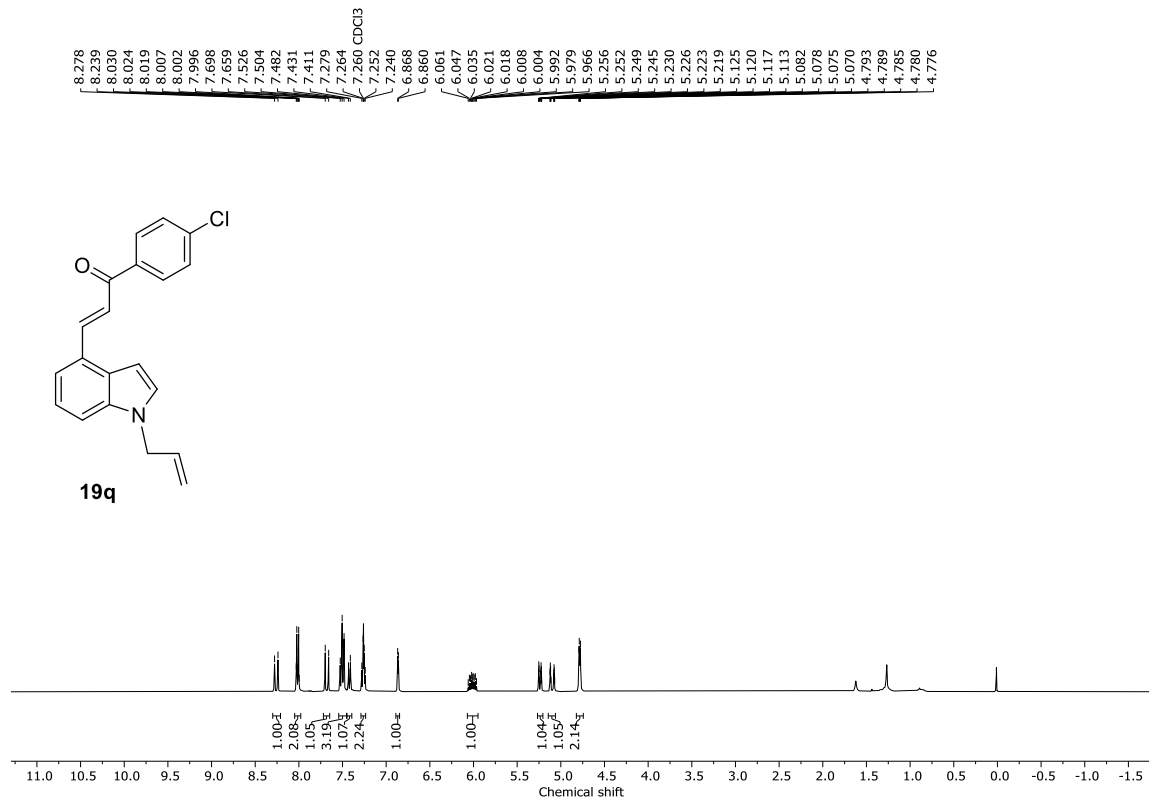
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19o**.



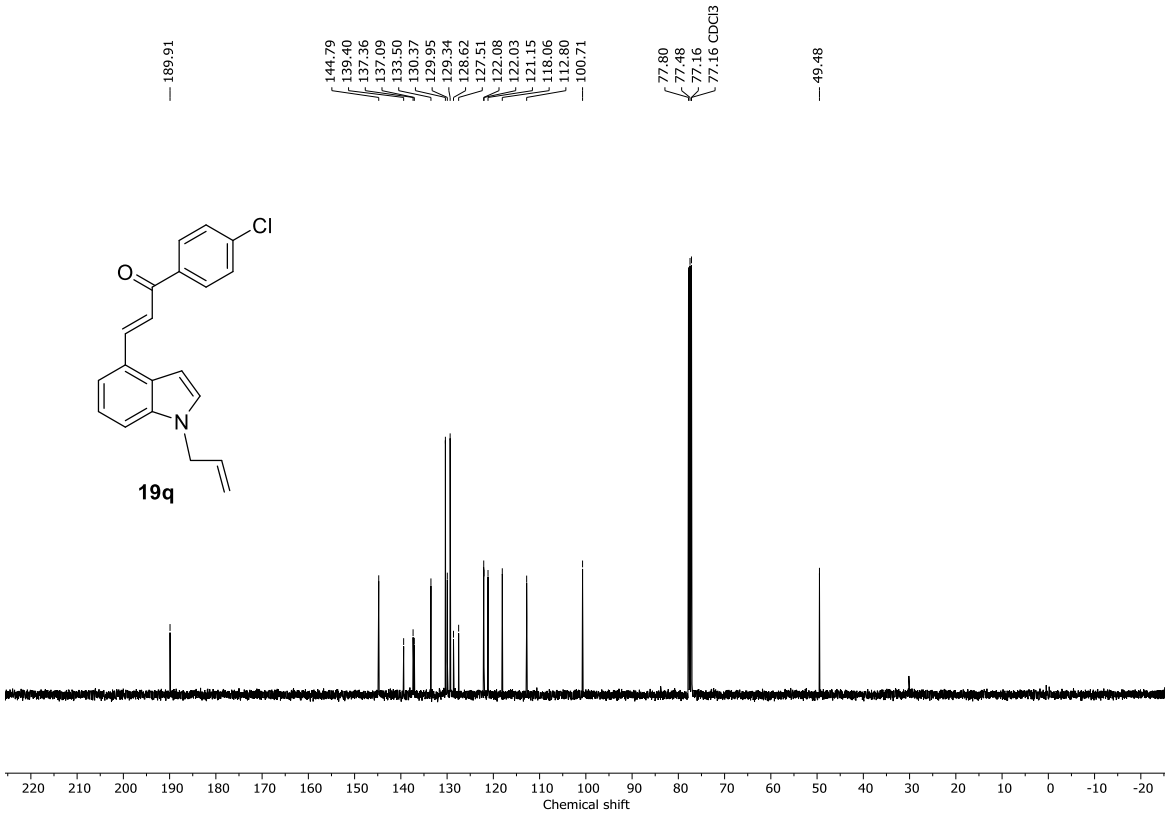
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19p**.



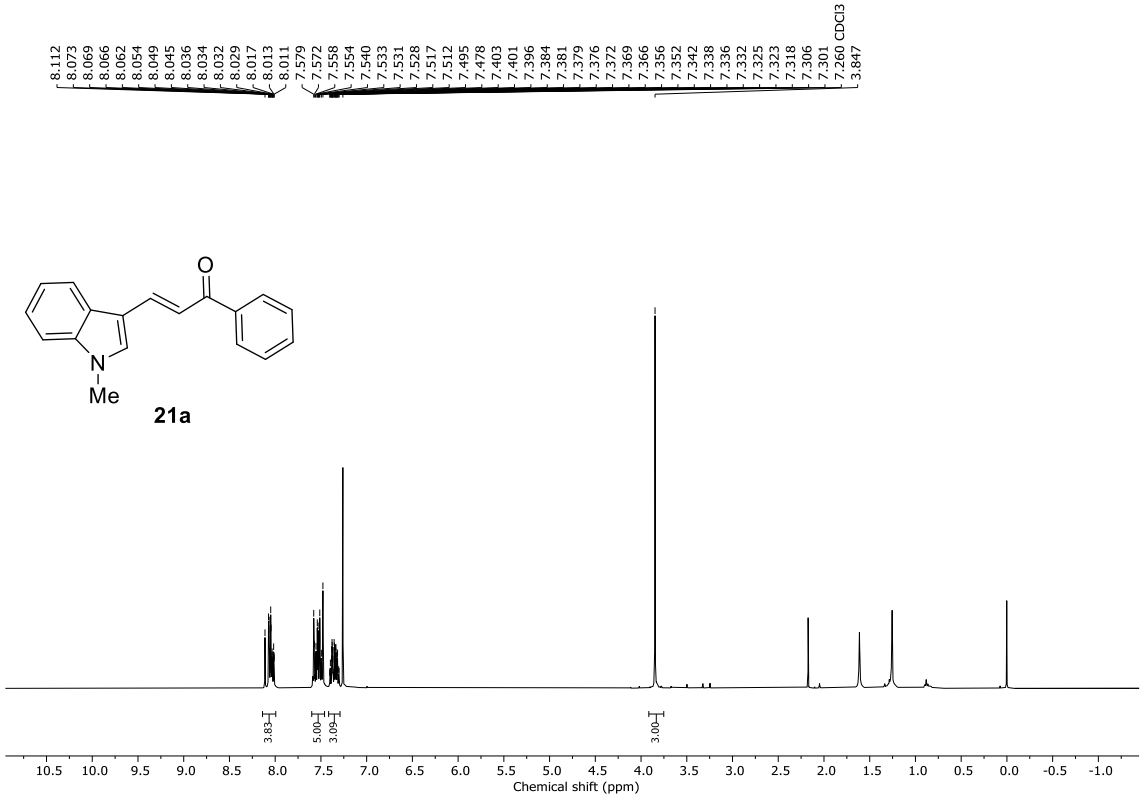
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19p**.



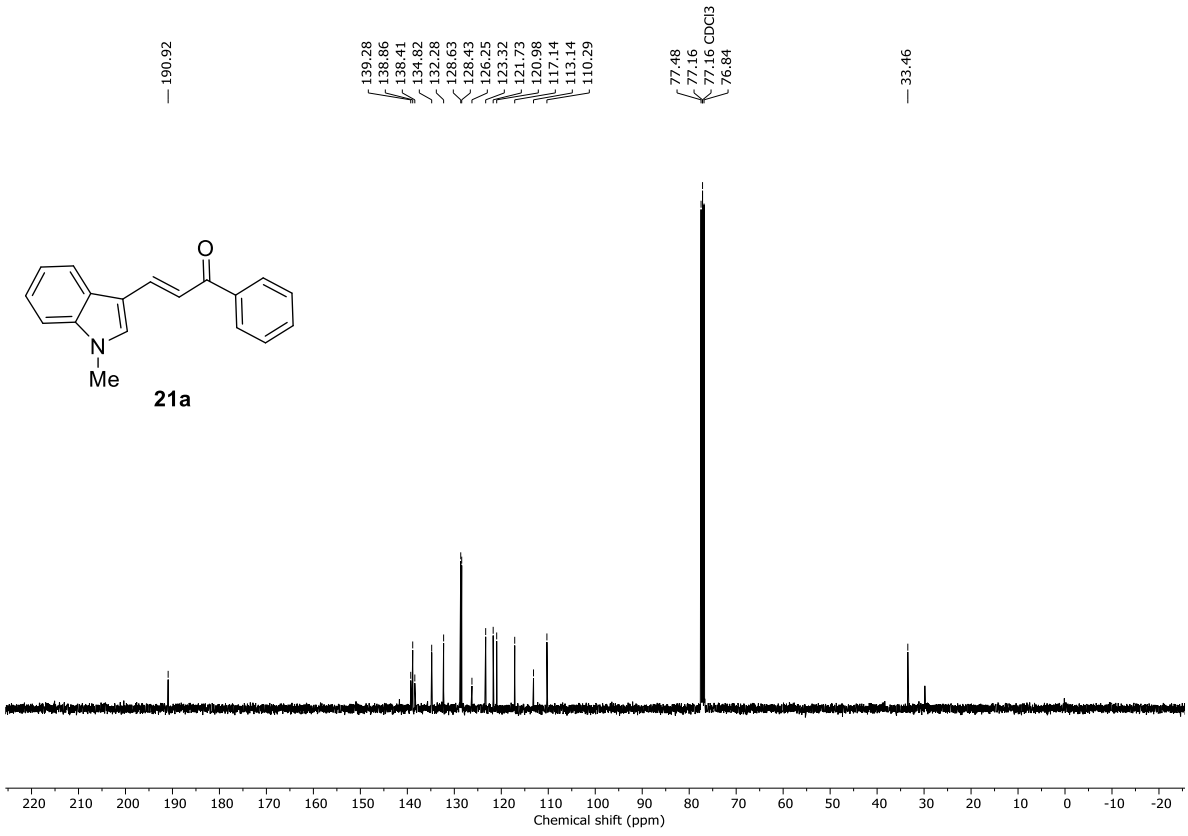
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **19q**.



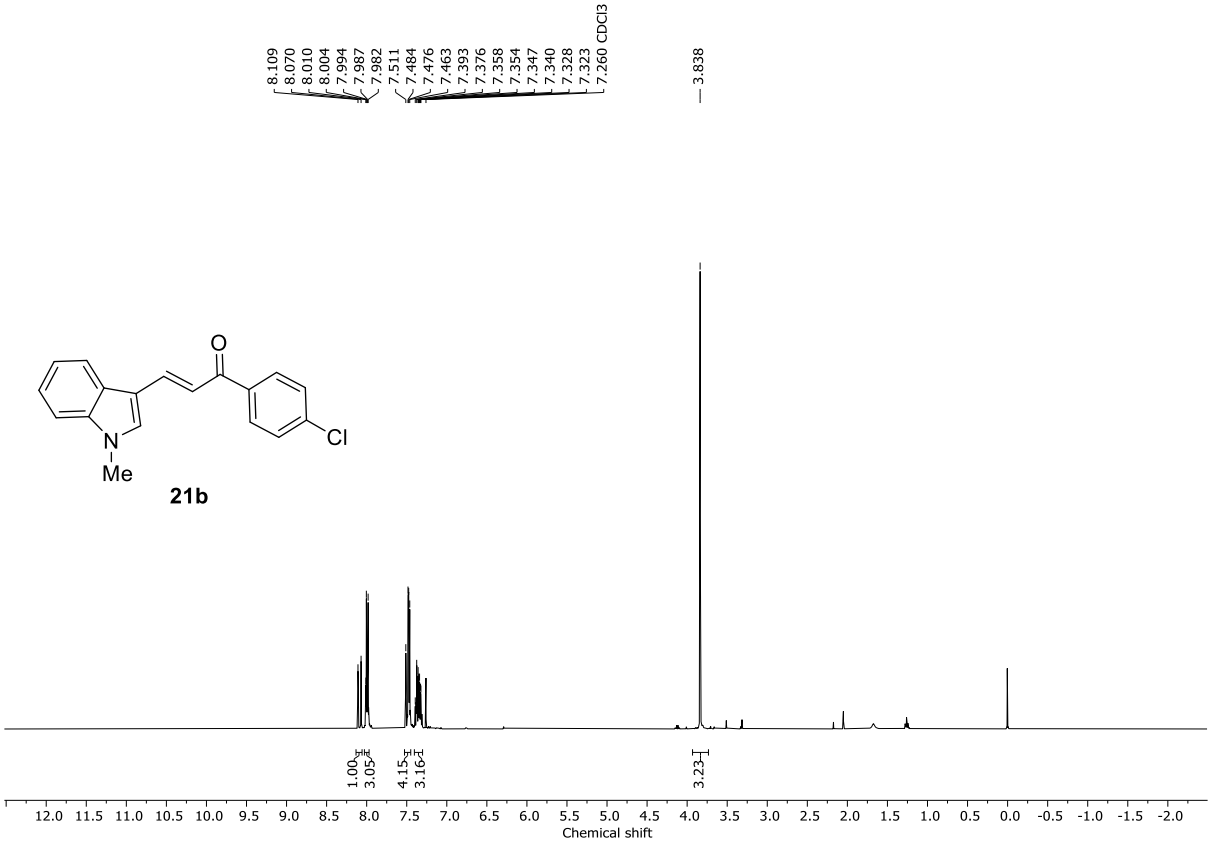
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **19q**.



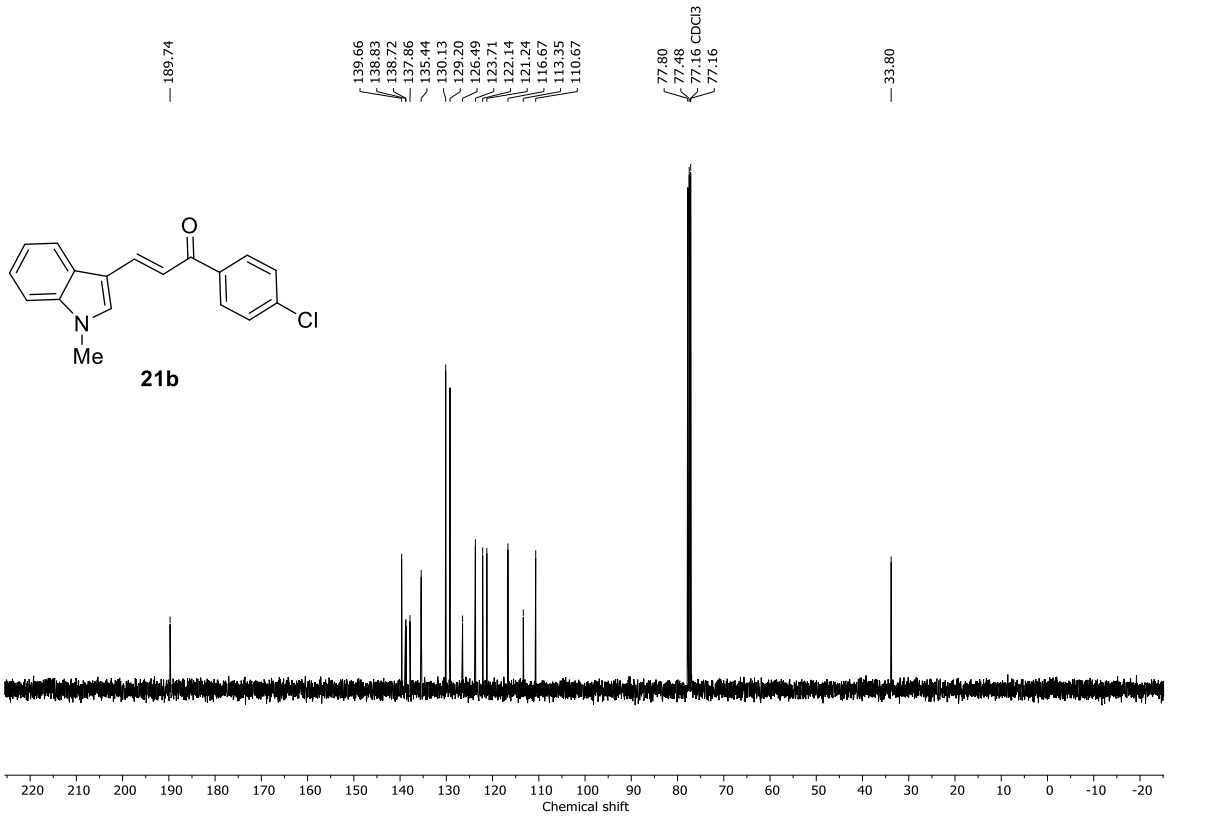
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **21a**.



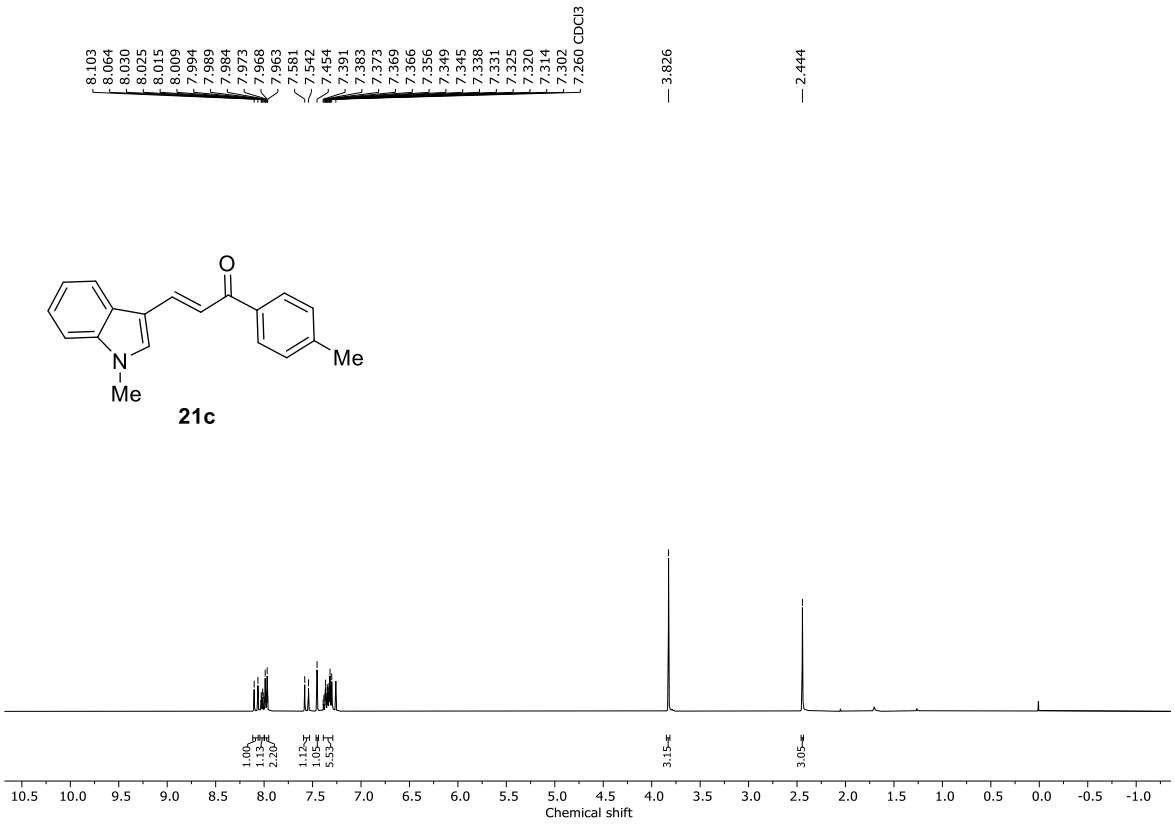
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **21a**.



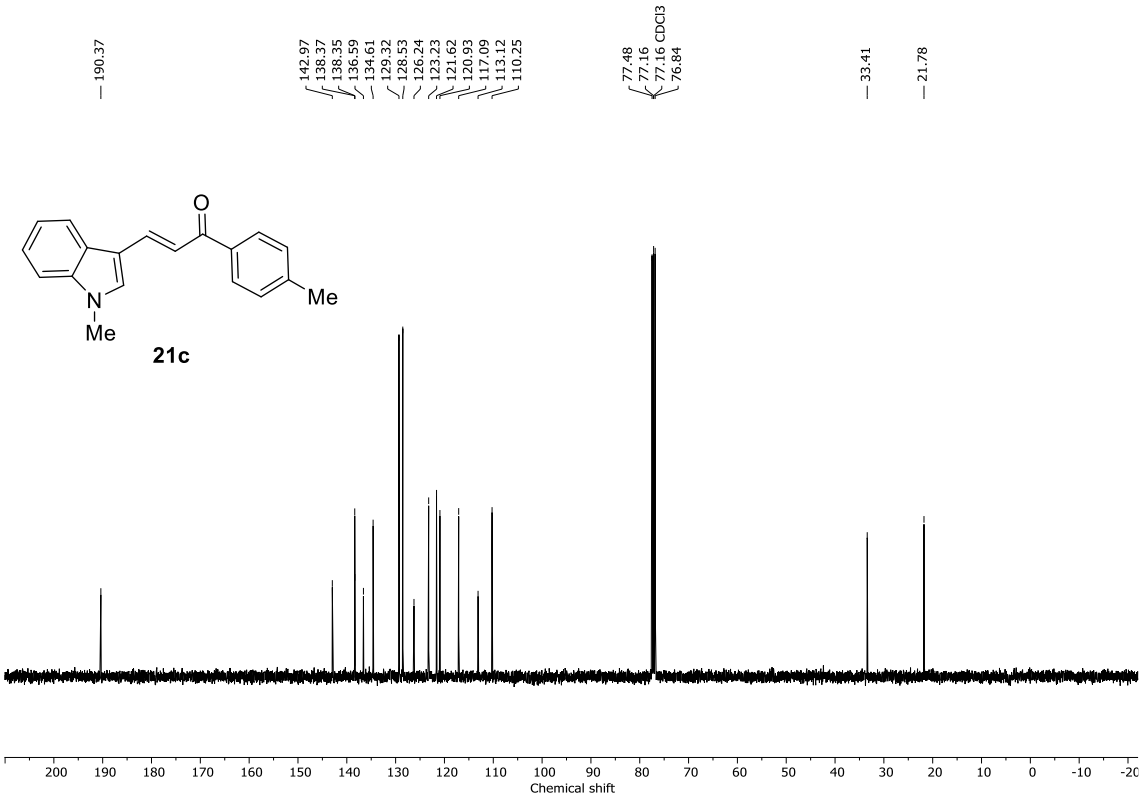
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **21b**.



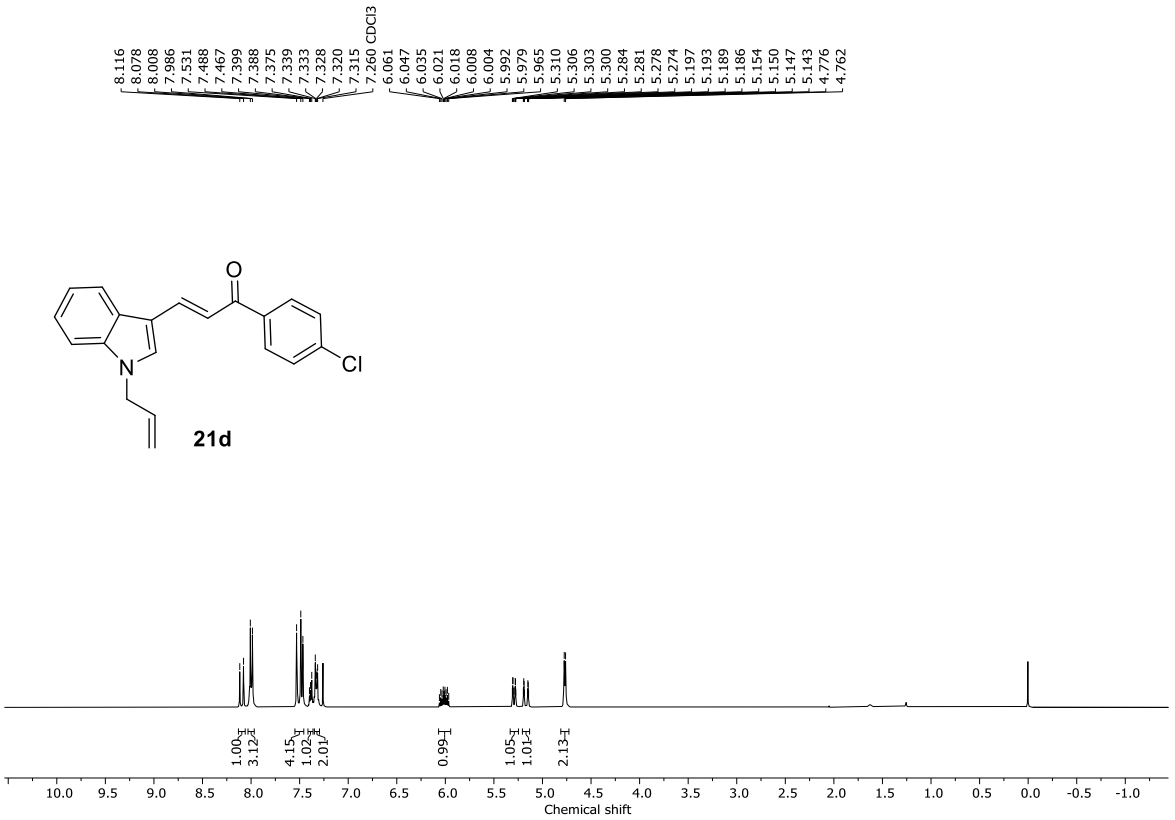
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **21b**.



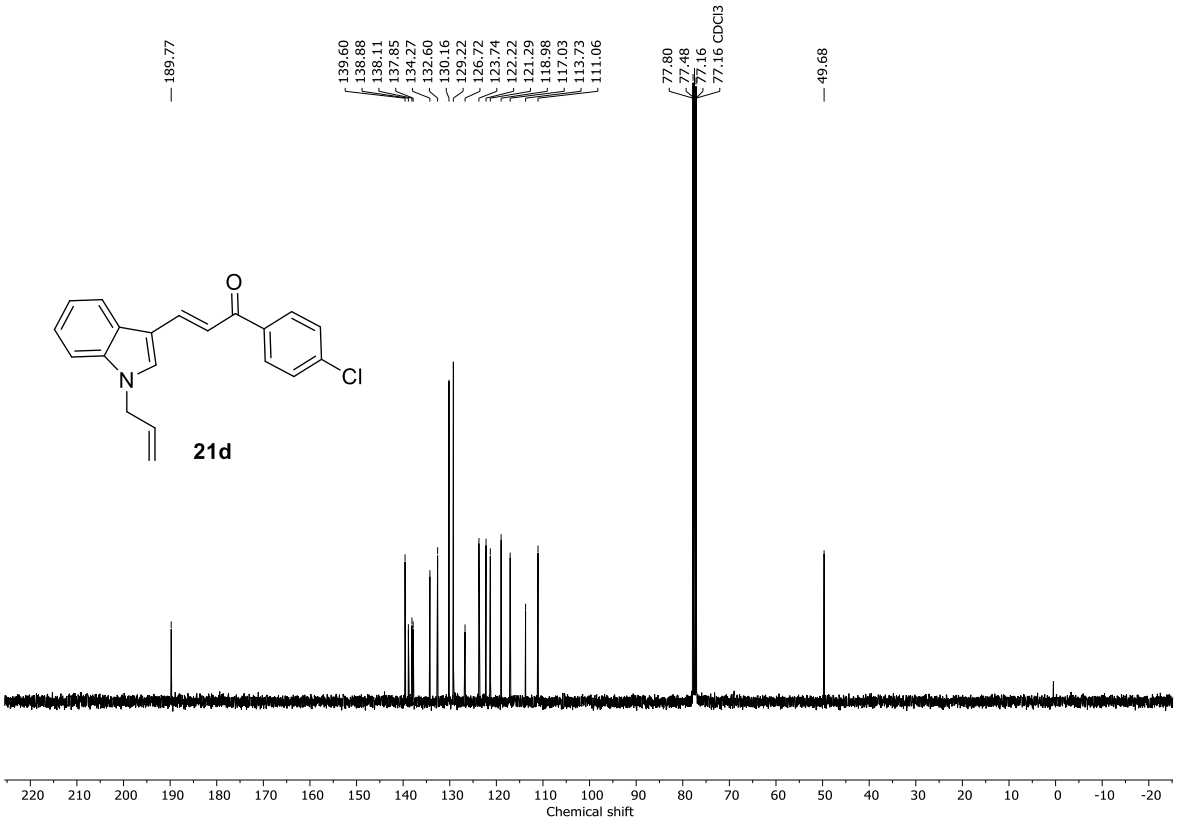
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **21c**.



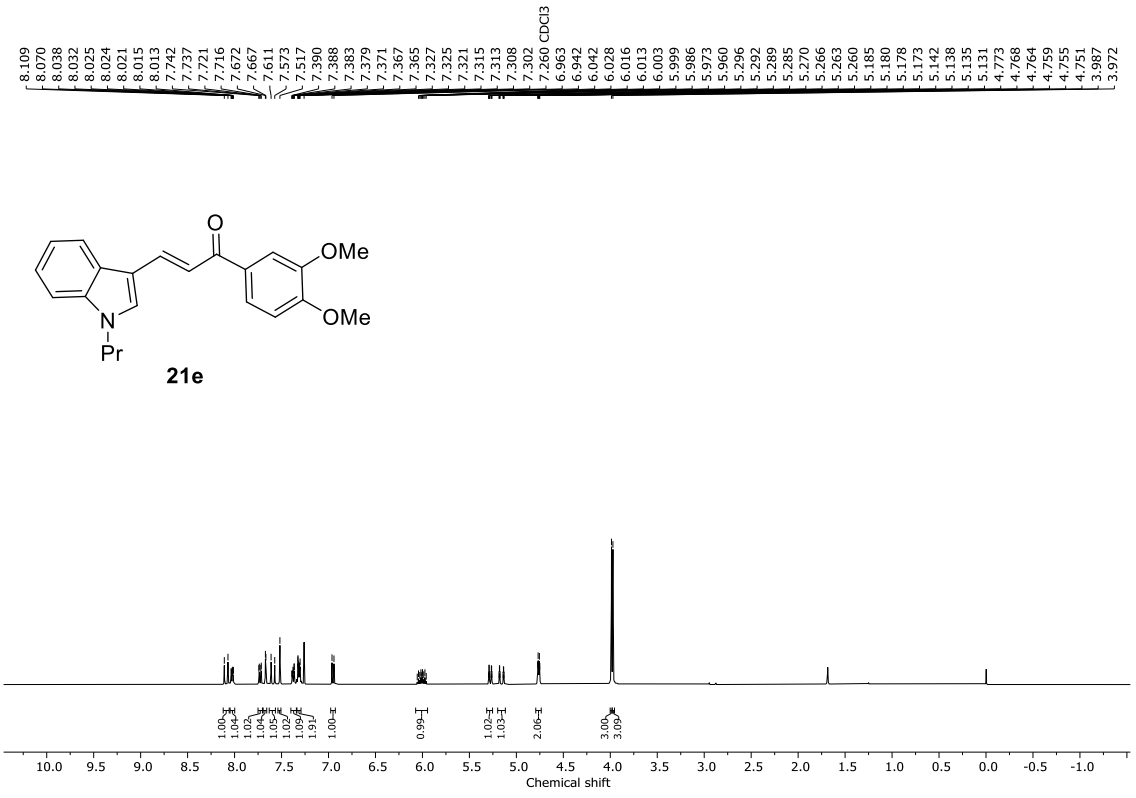
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **21c**.



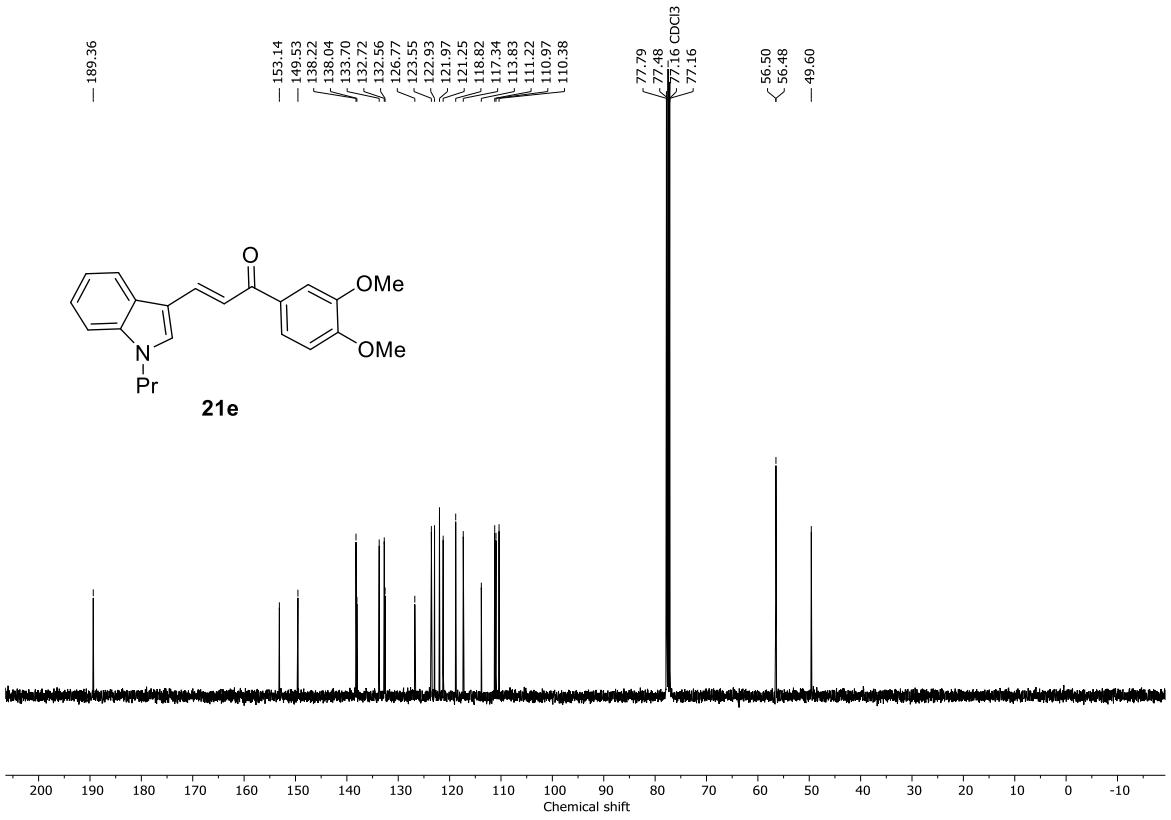
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **21d**.



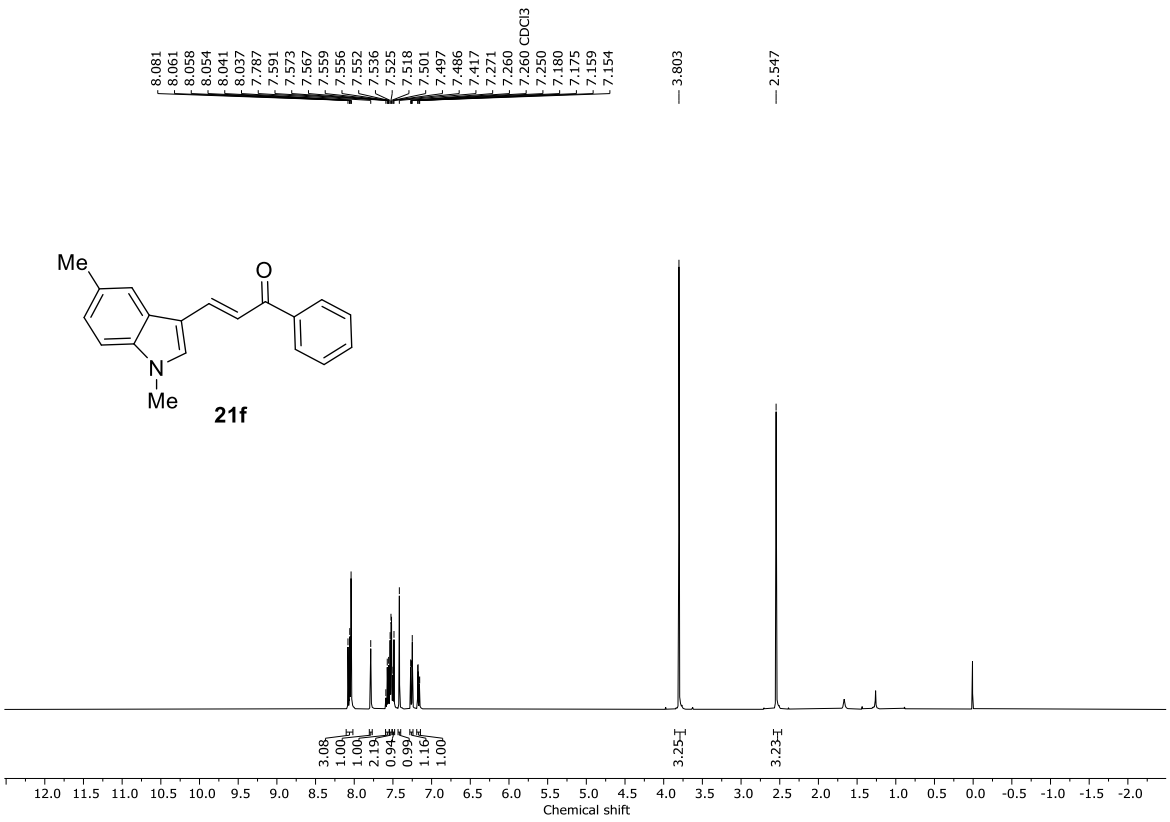
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **21d**.



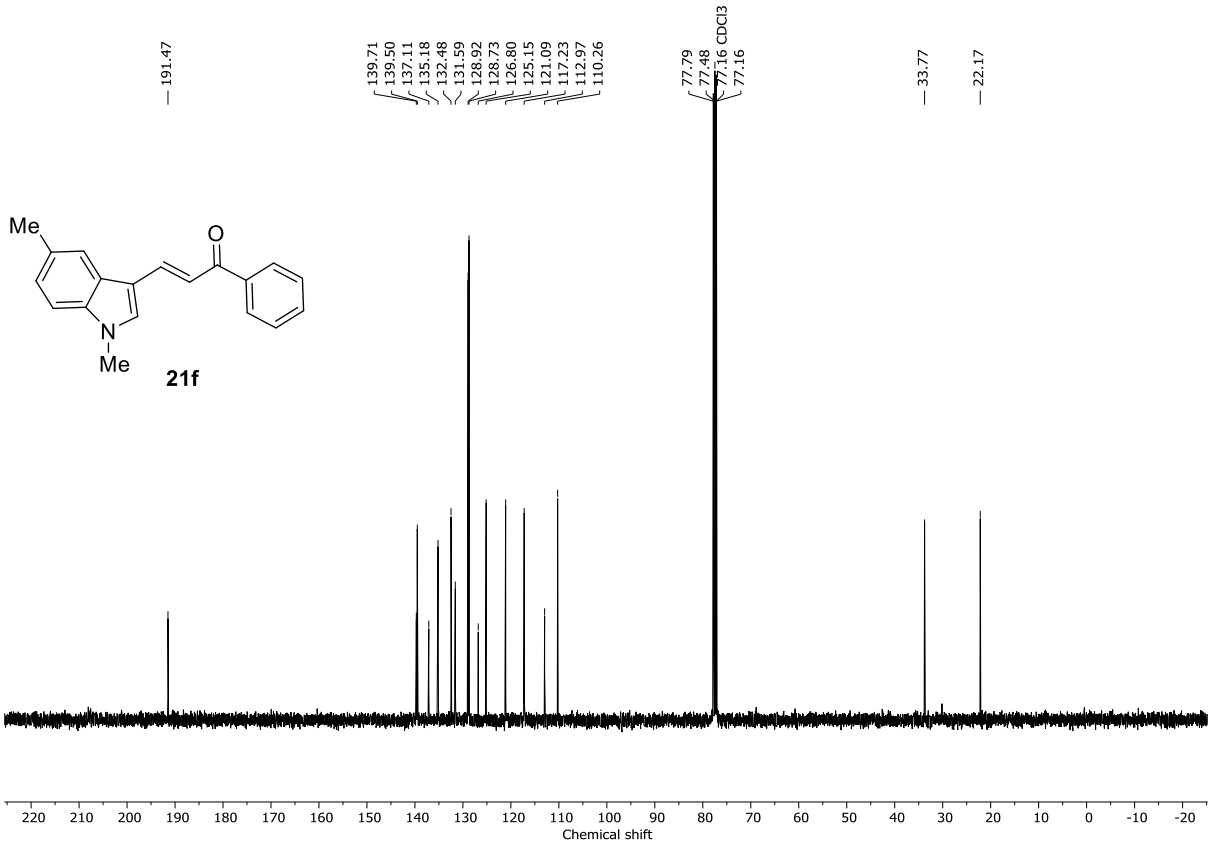
^{1}H NMR (400 MHz, $CDCl_3$) spectra spectra of **21e**.



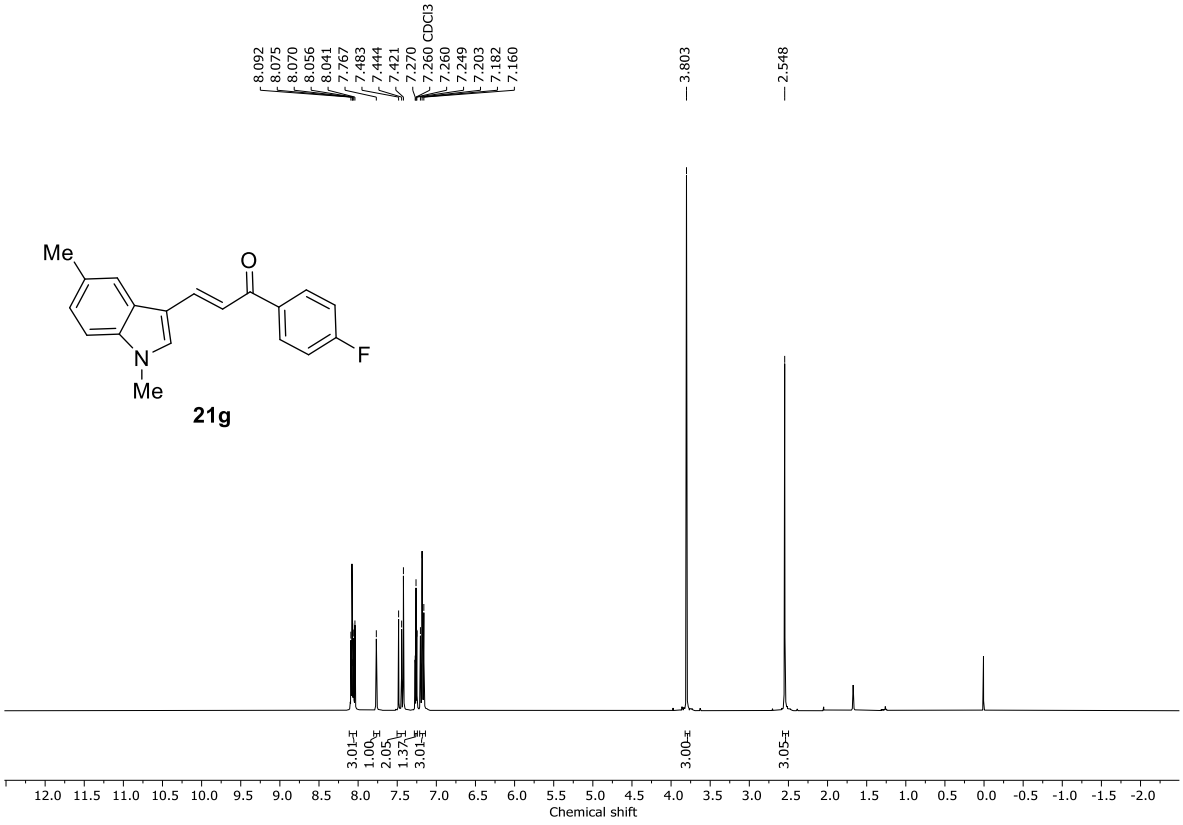
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **21e**.



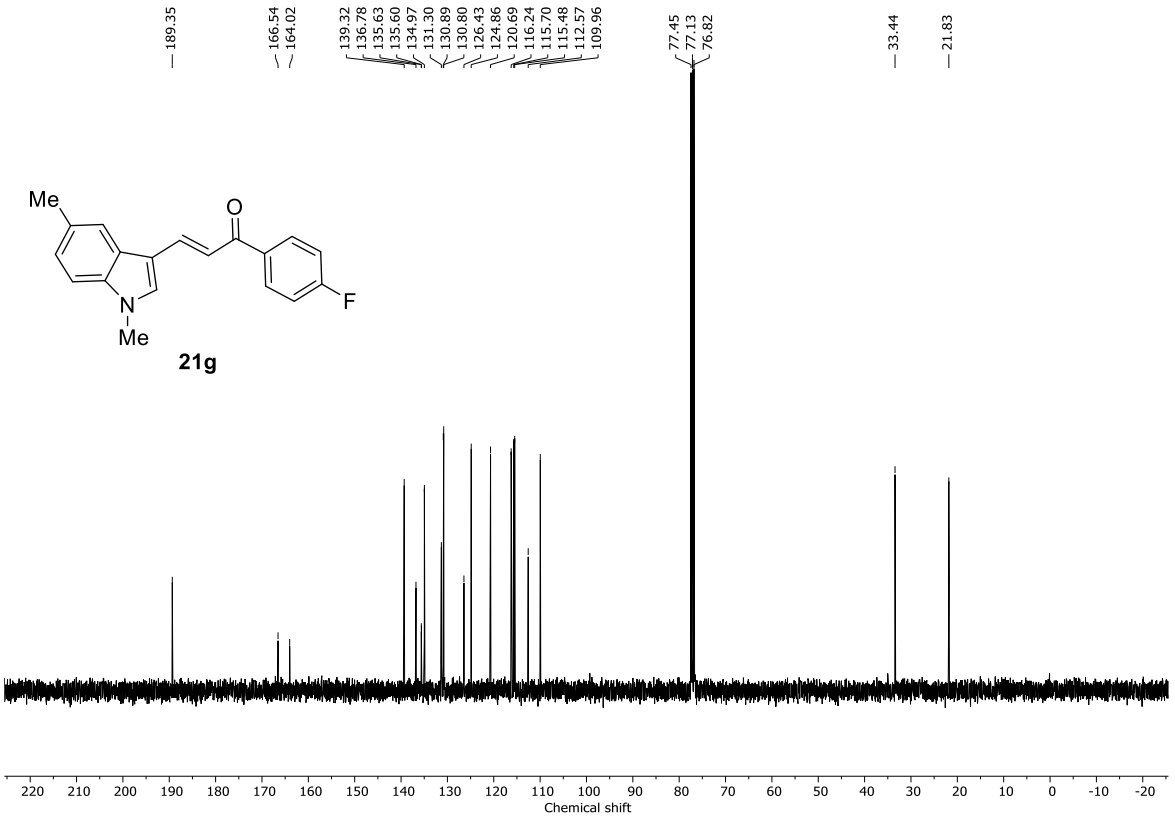
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **21f**.



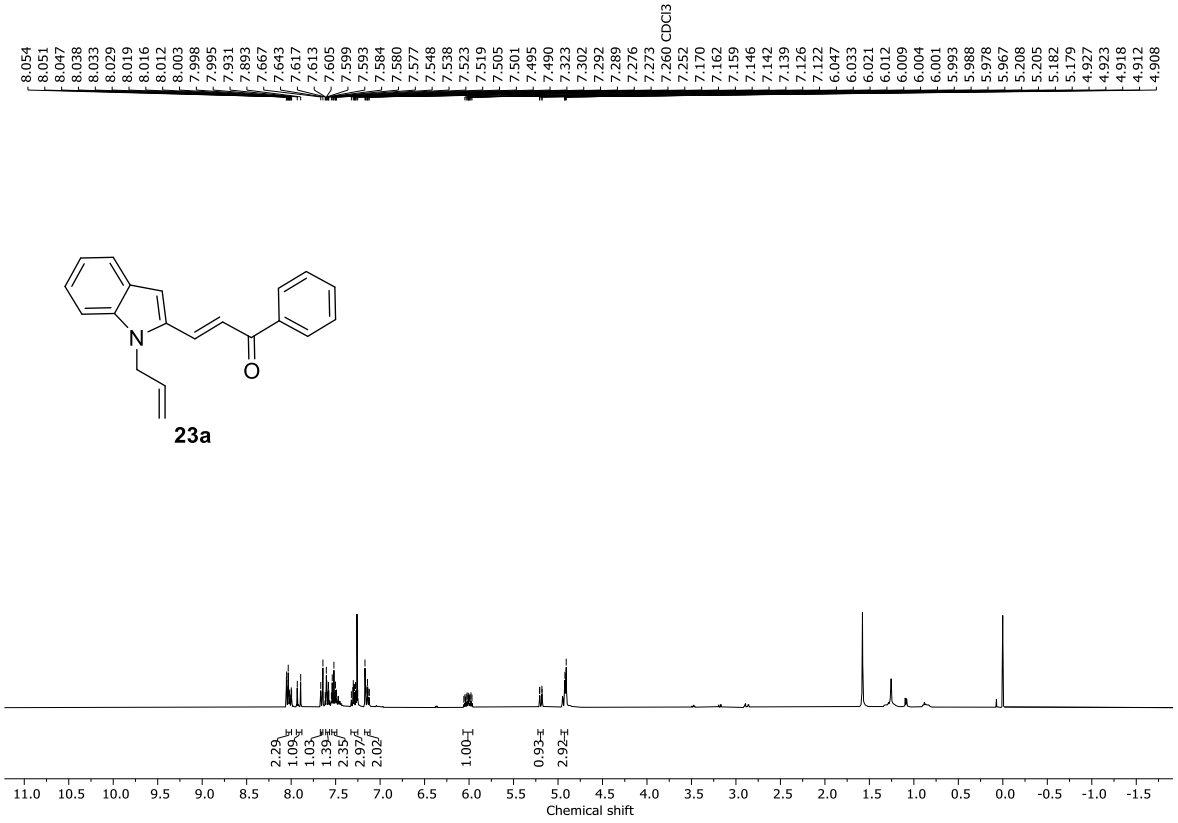
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **21f**.



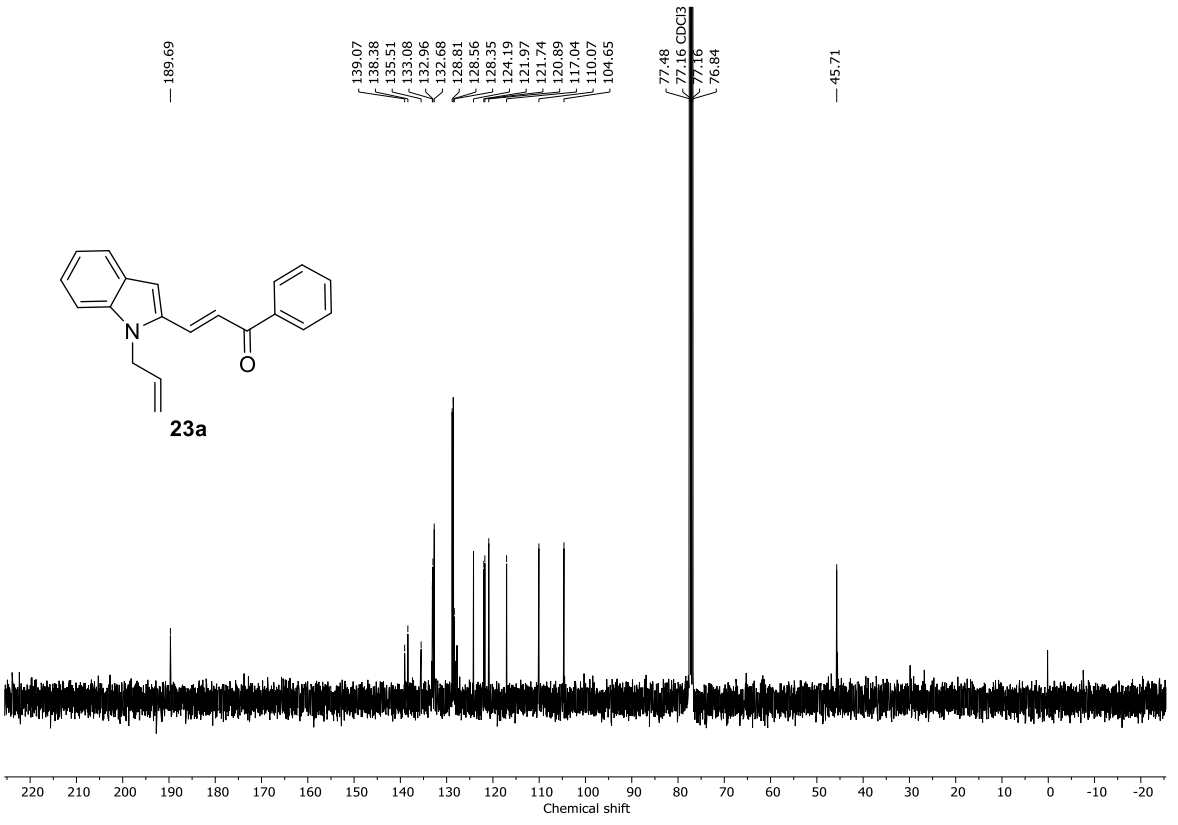
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **21g**.



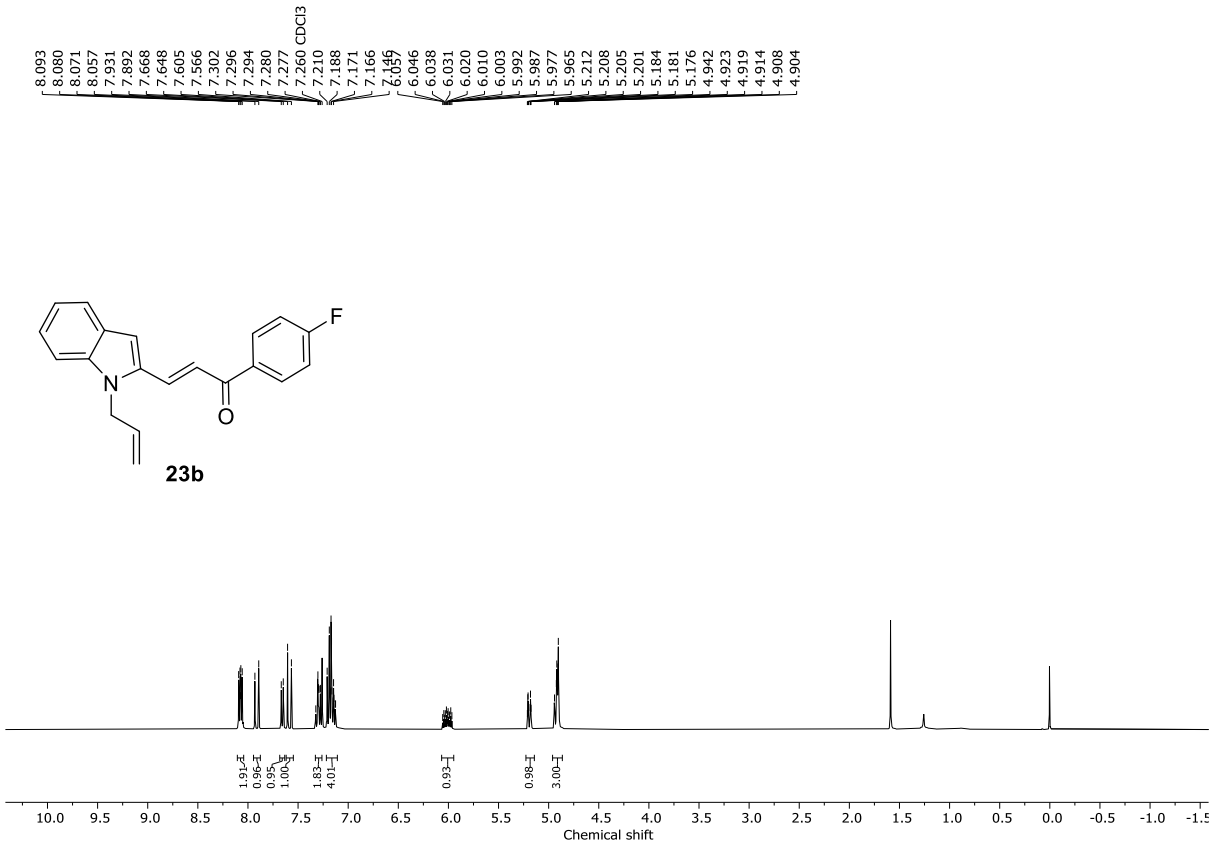
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **21g**.



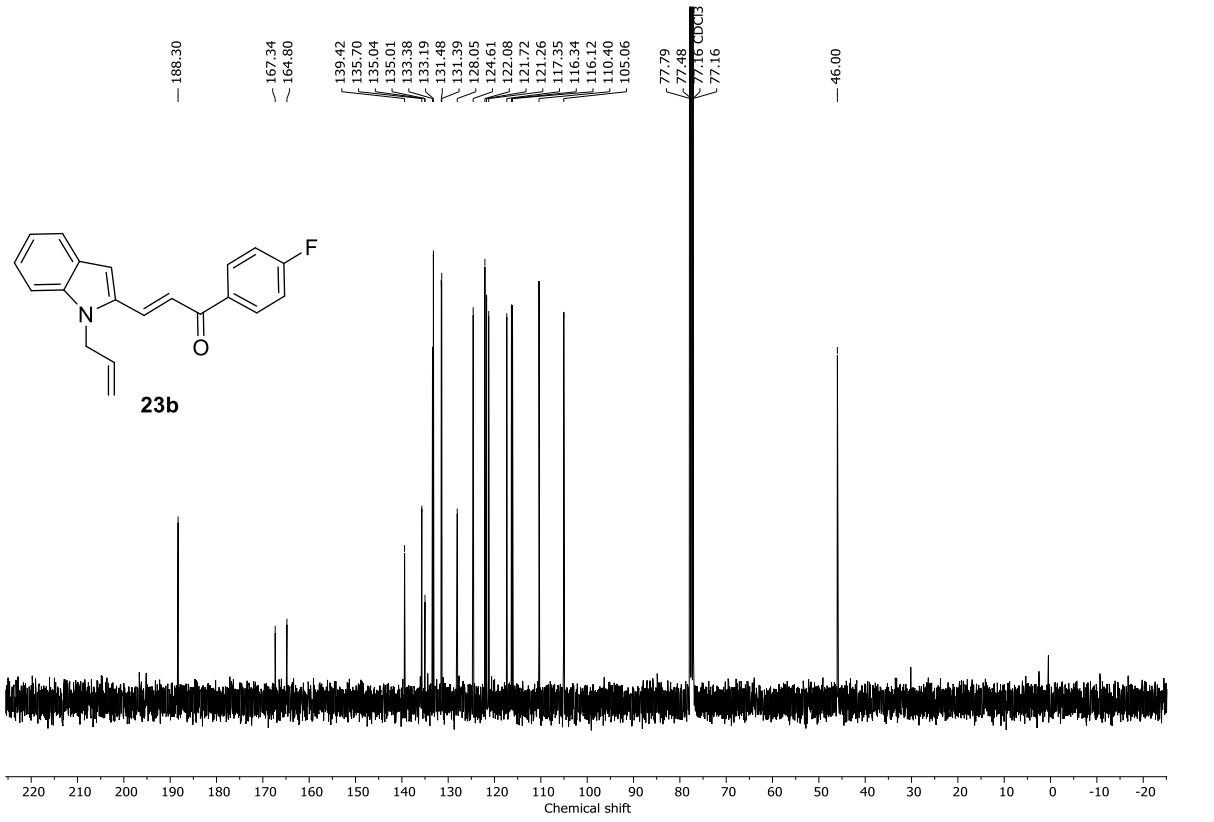
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **23a**.



^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **23a**.

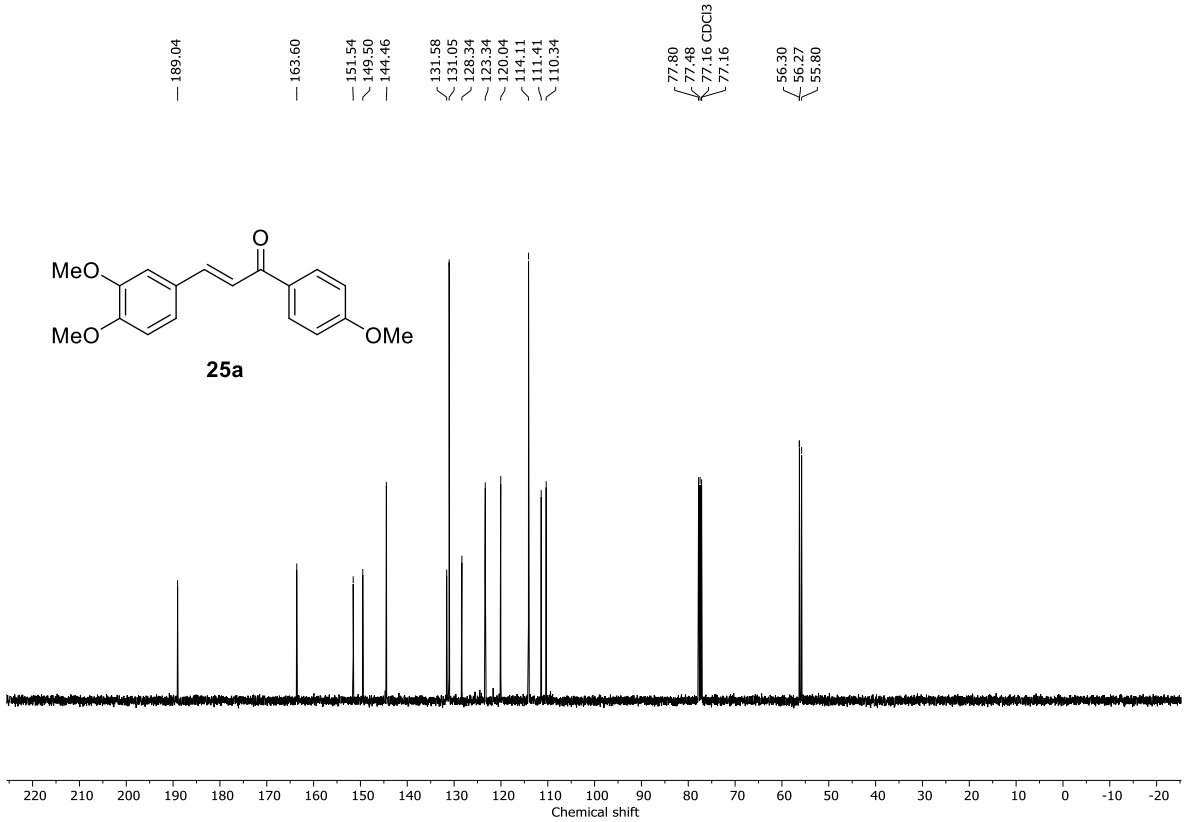


^{1}H NMR (400 MHz, $CDCl_3$) spectra of **23b**.

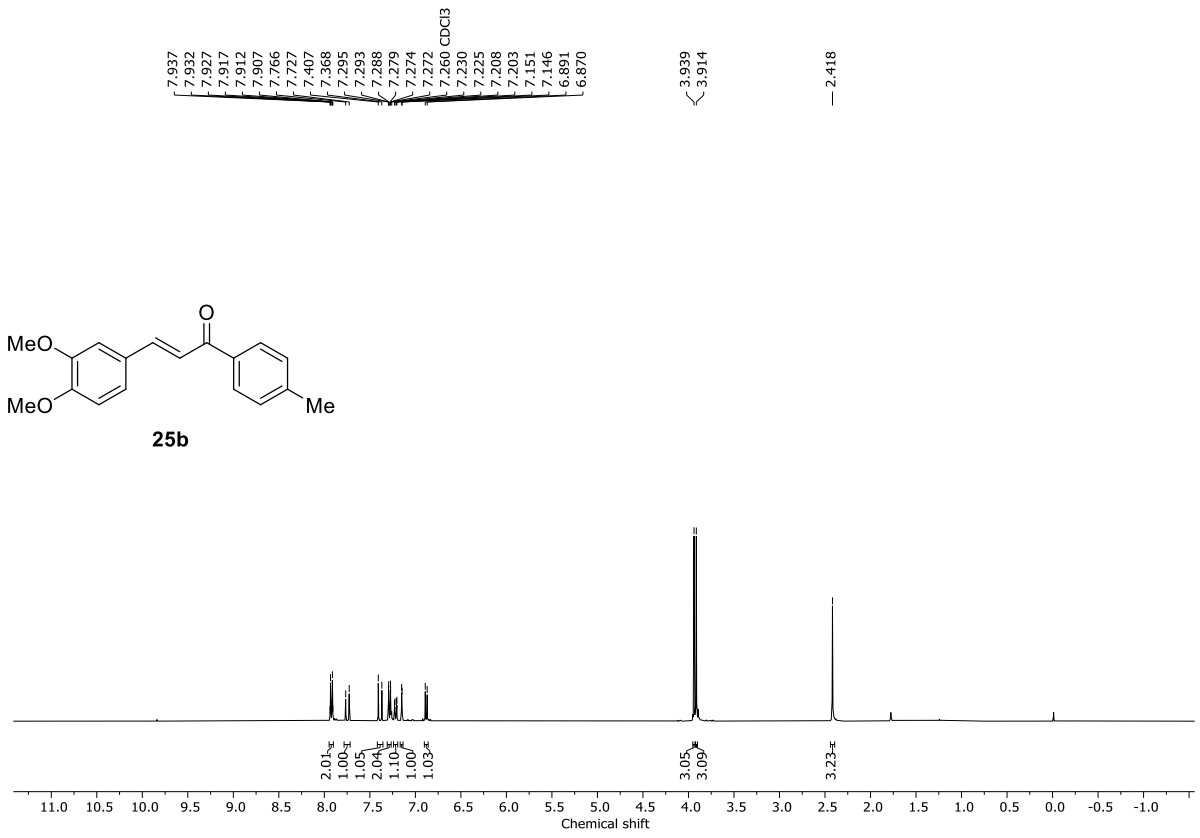


^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **23b**.

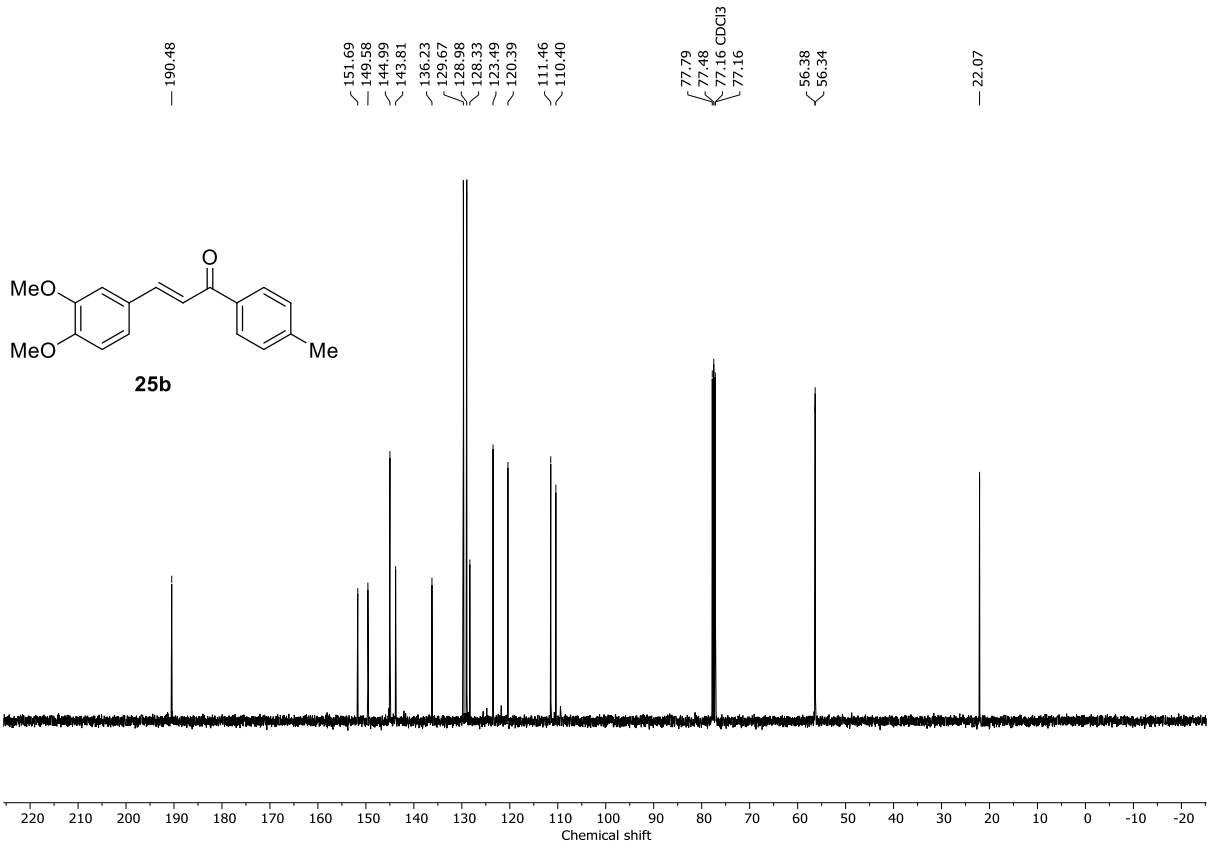
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **25a**.



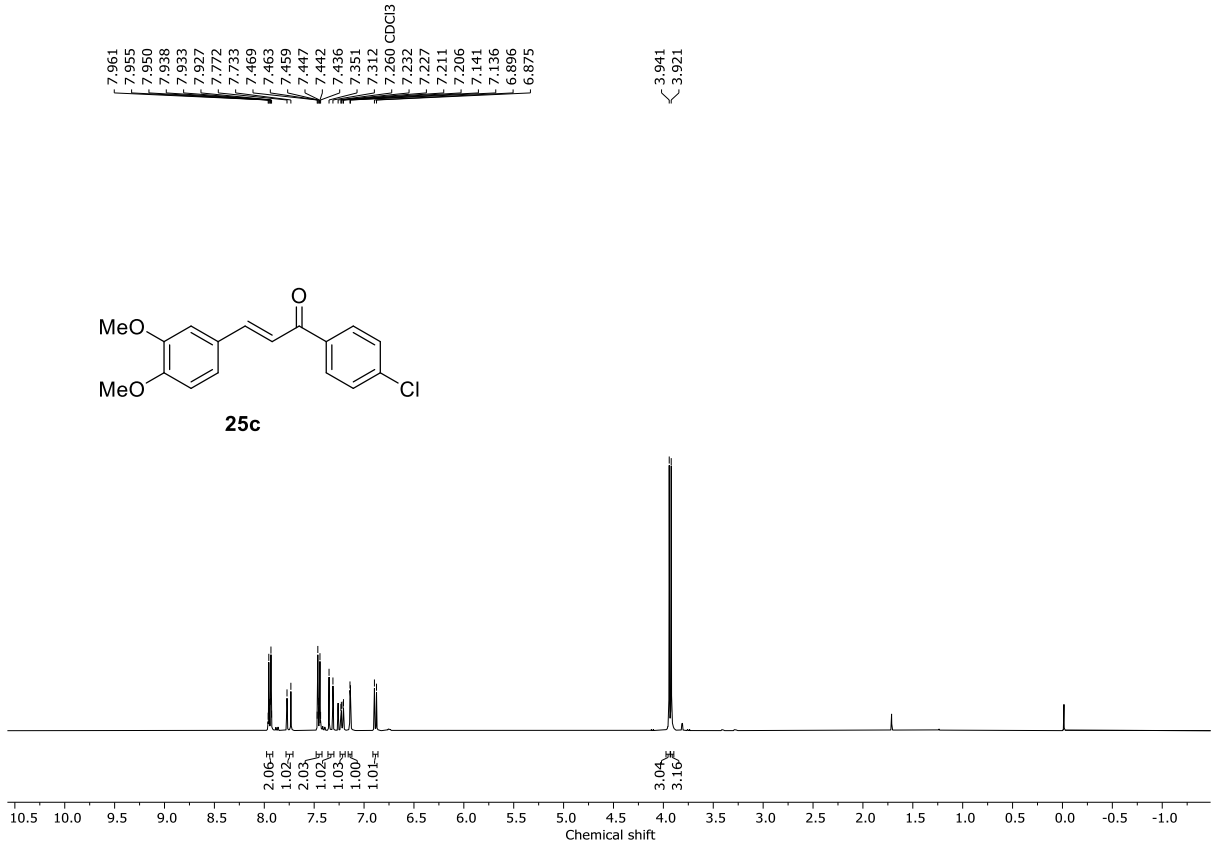
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **25a**.



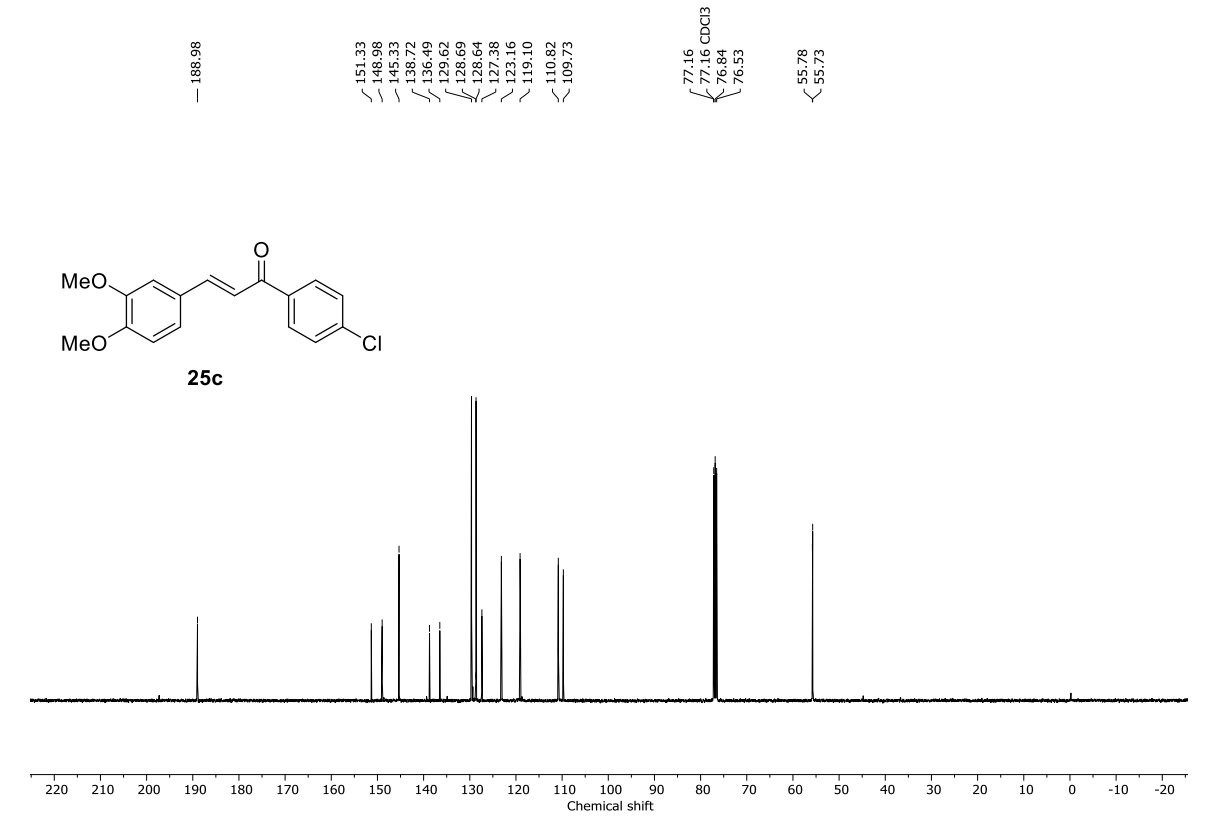
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **25b**.



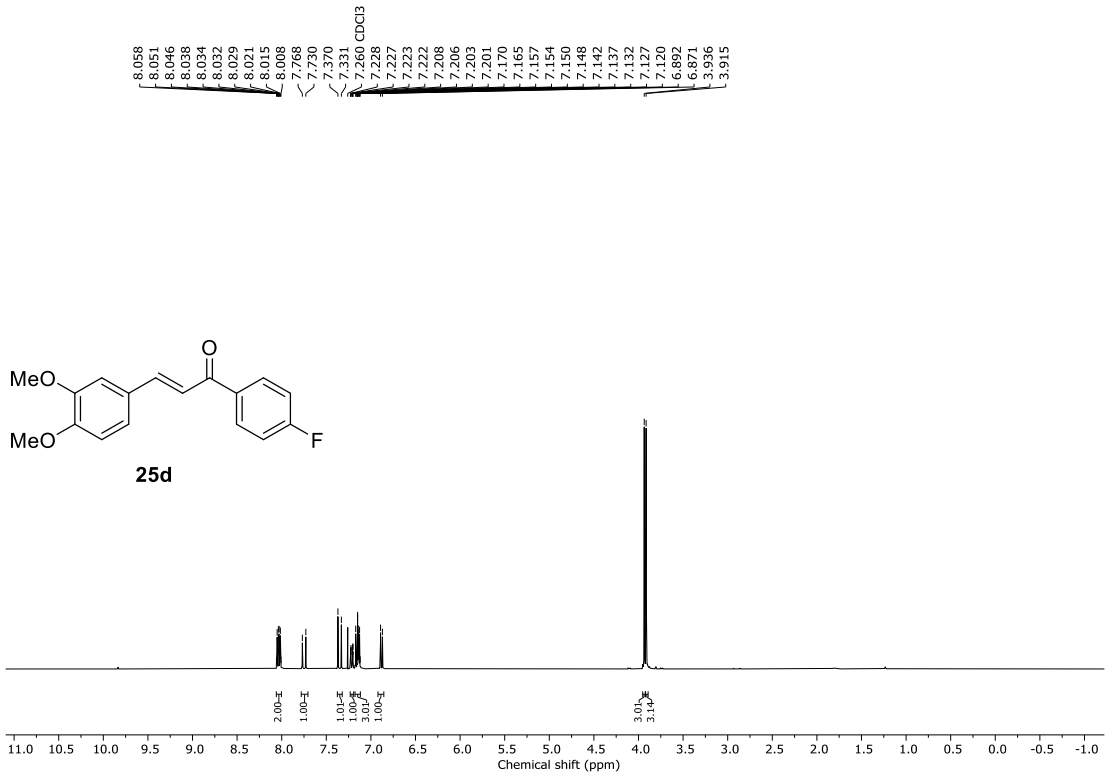
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **25b**.



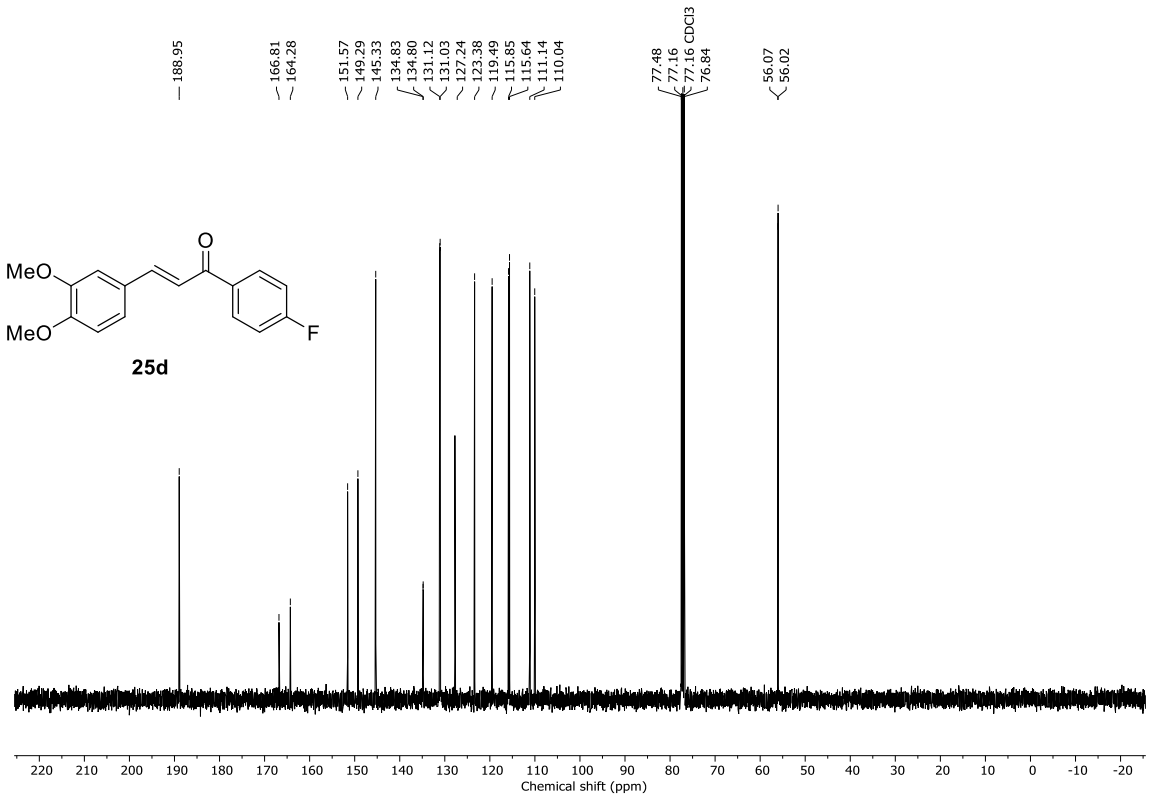
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **25c**.



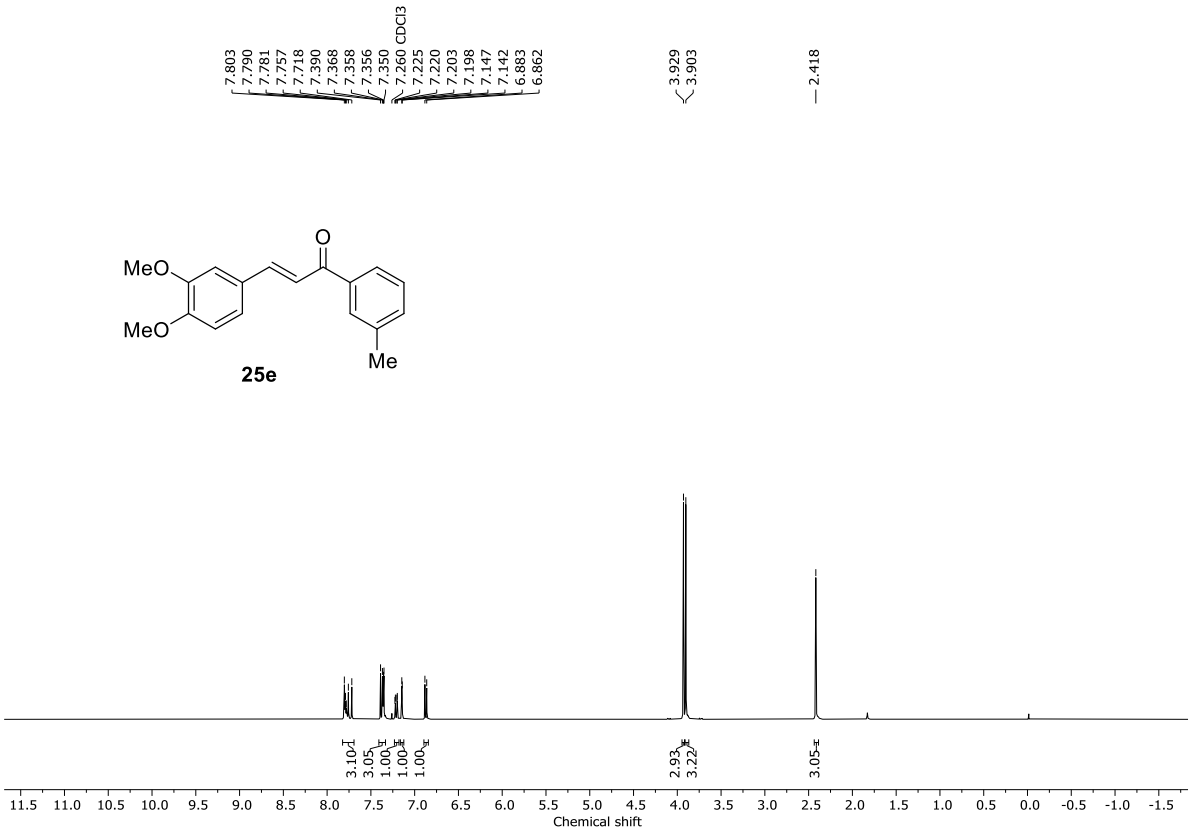
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **25c**.



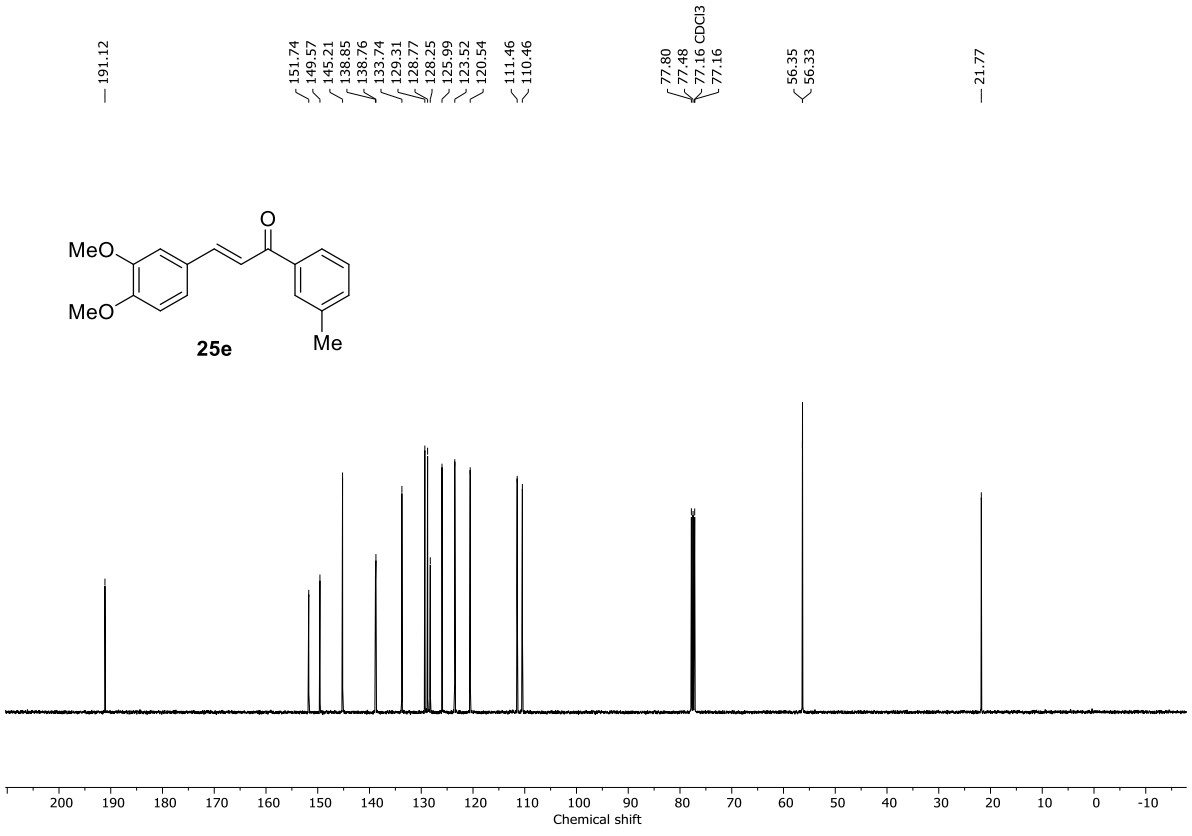
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **25d**.



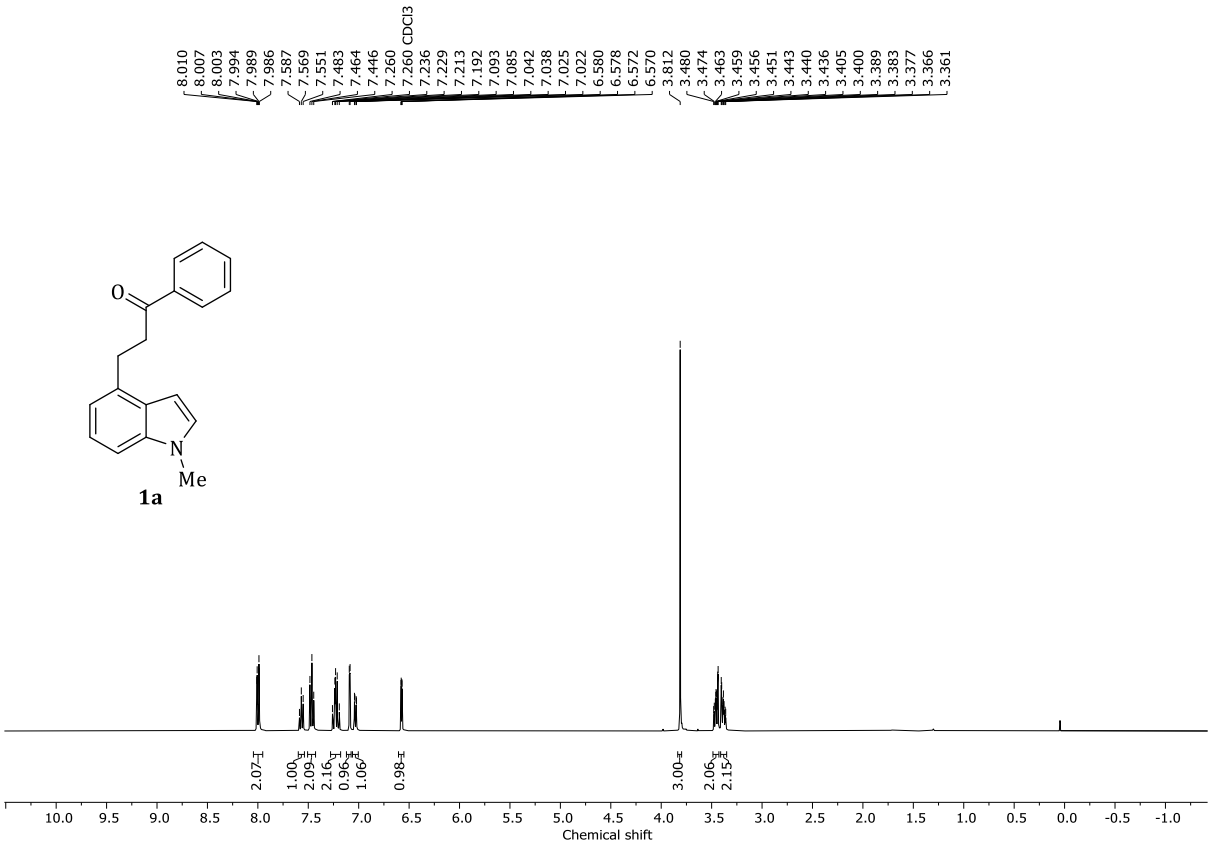
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **25d**.



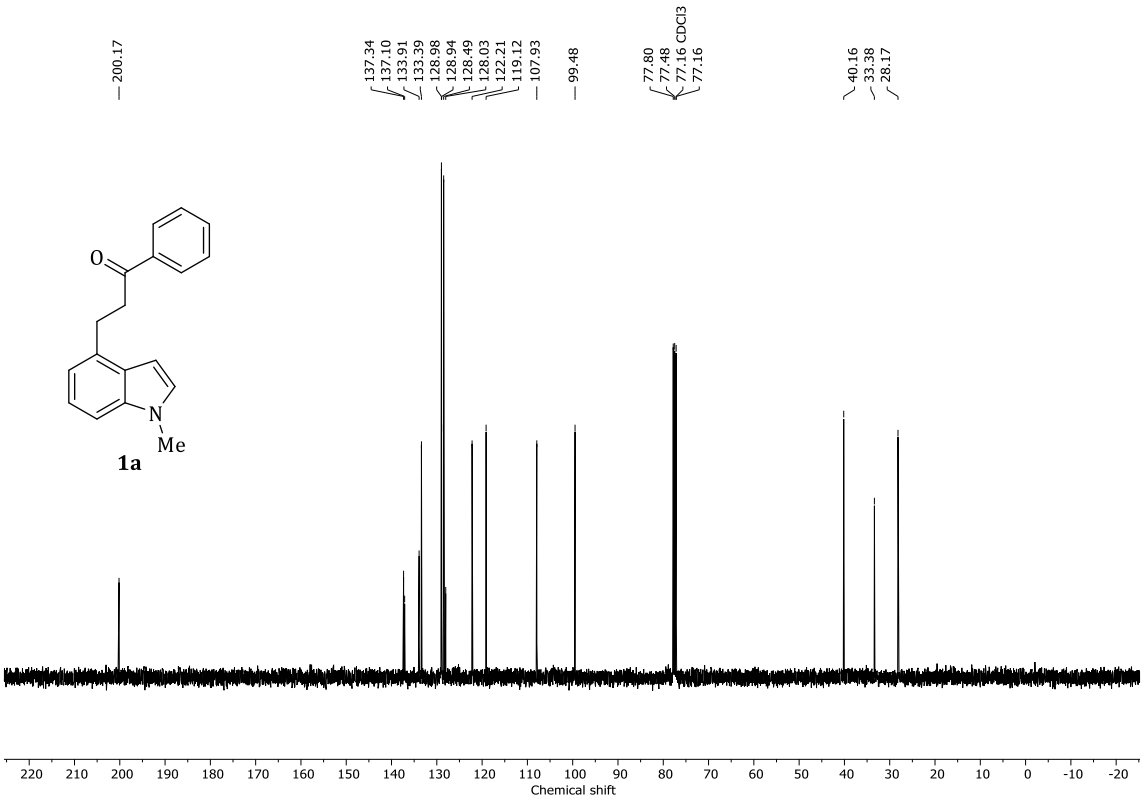
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **25e**.



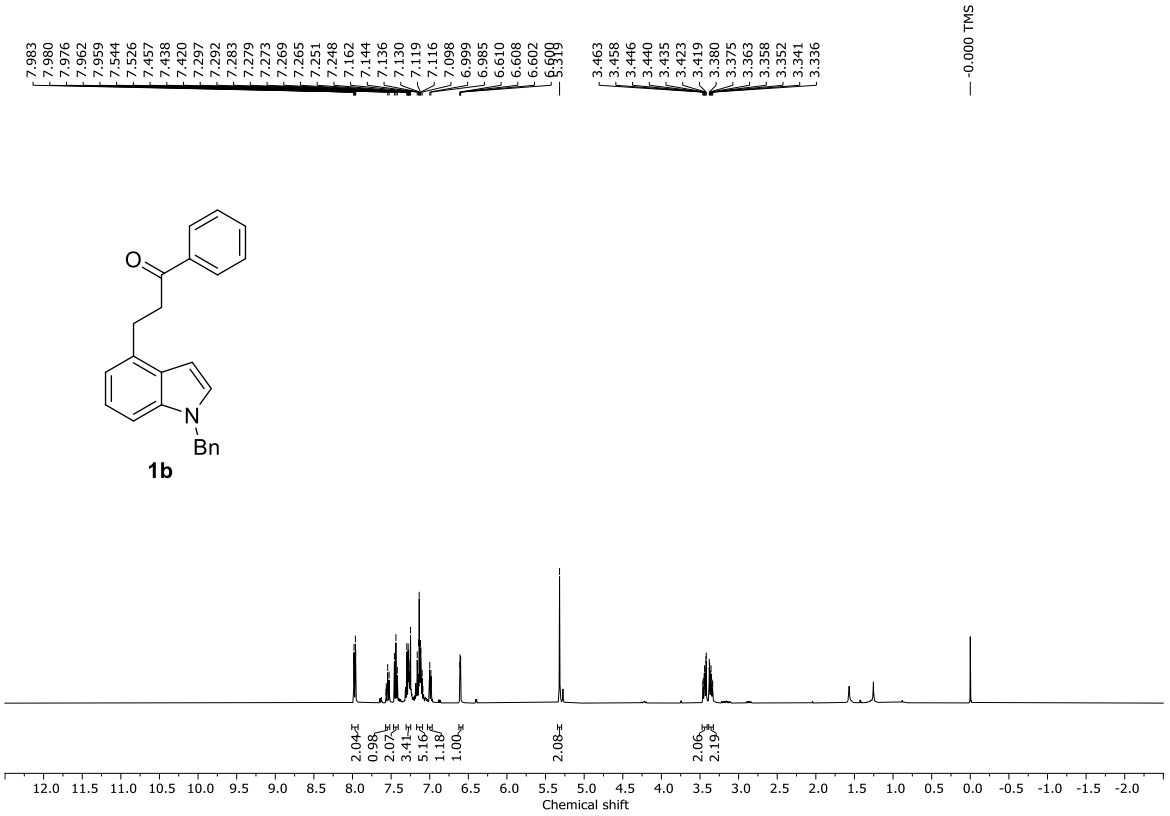
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **25e**.



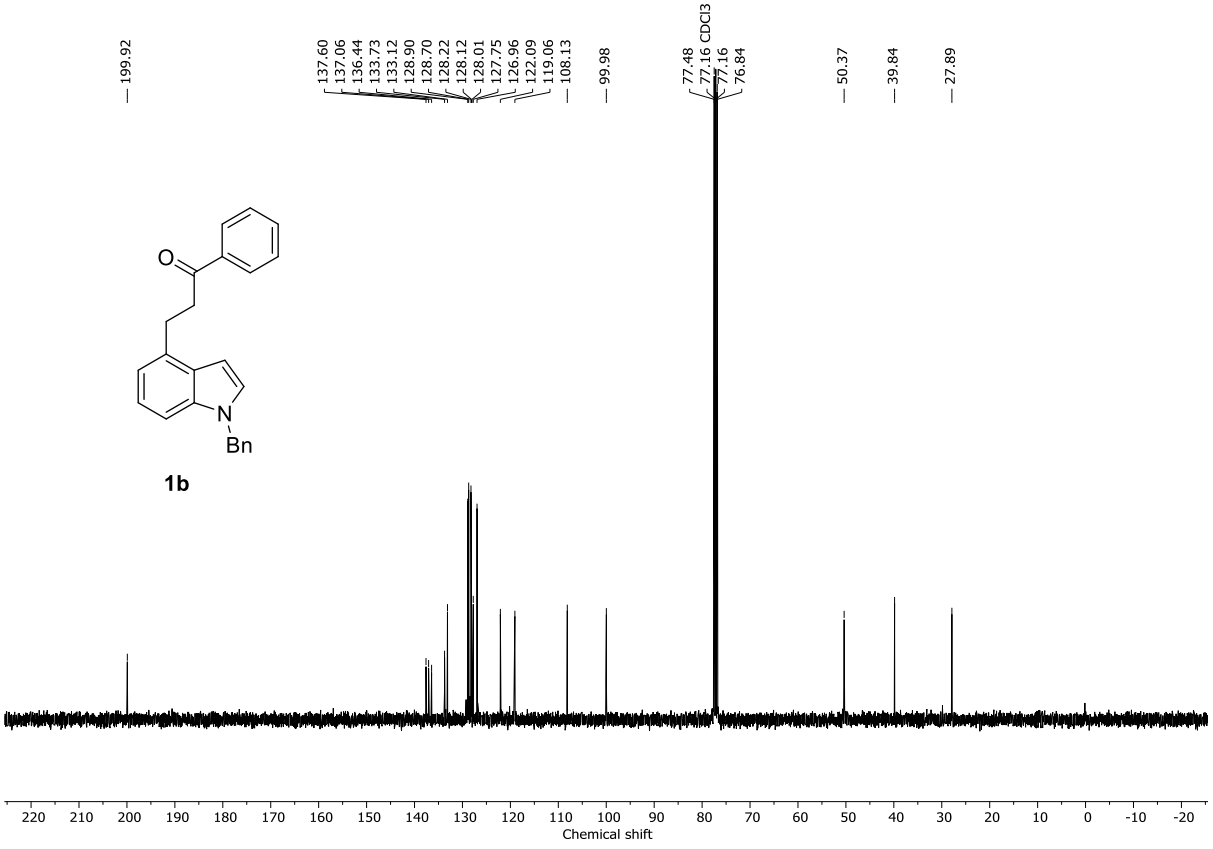
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1a**.



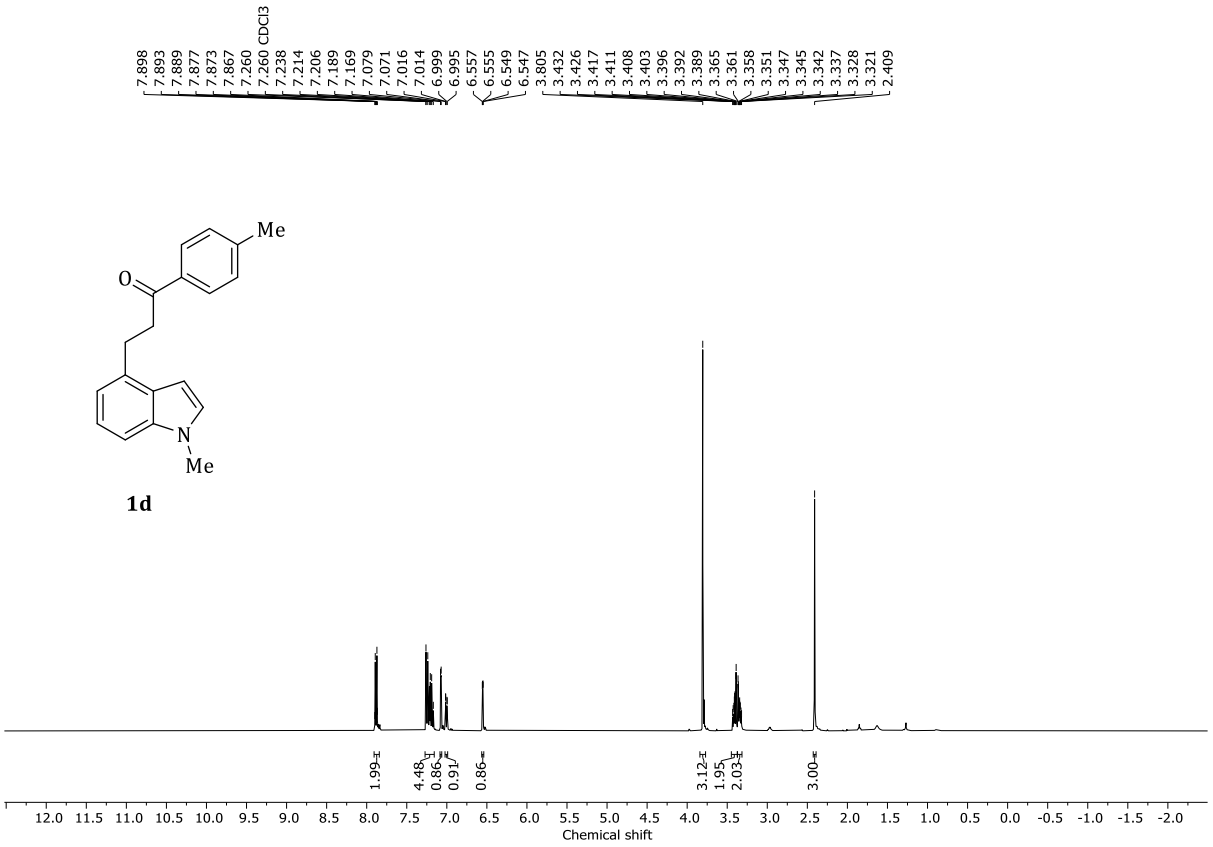
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1a**.



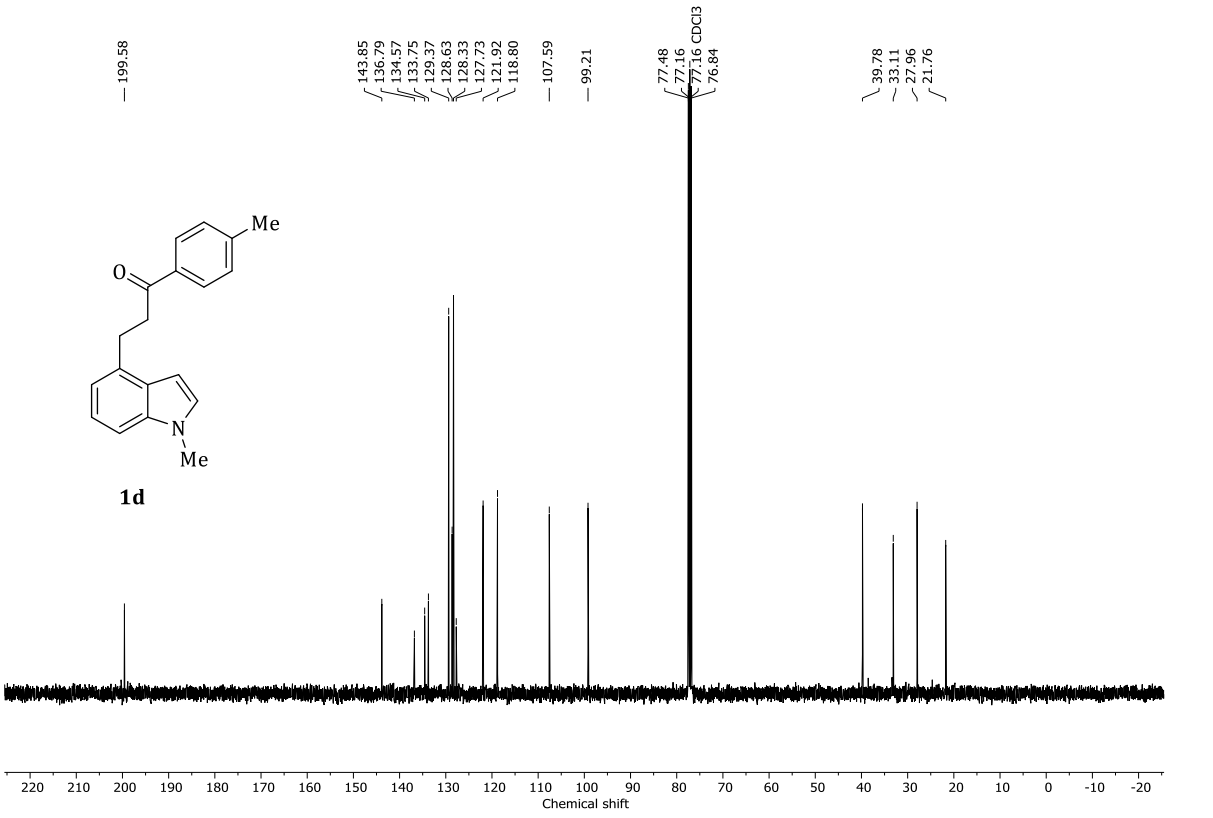
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1b**.



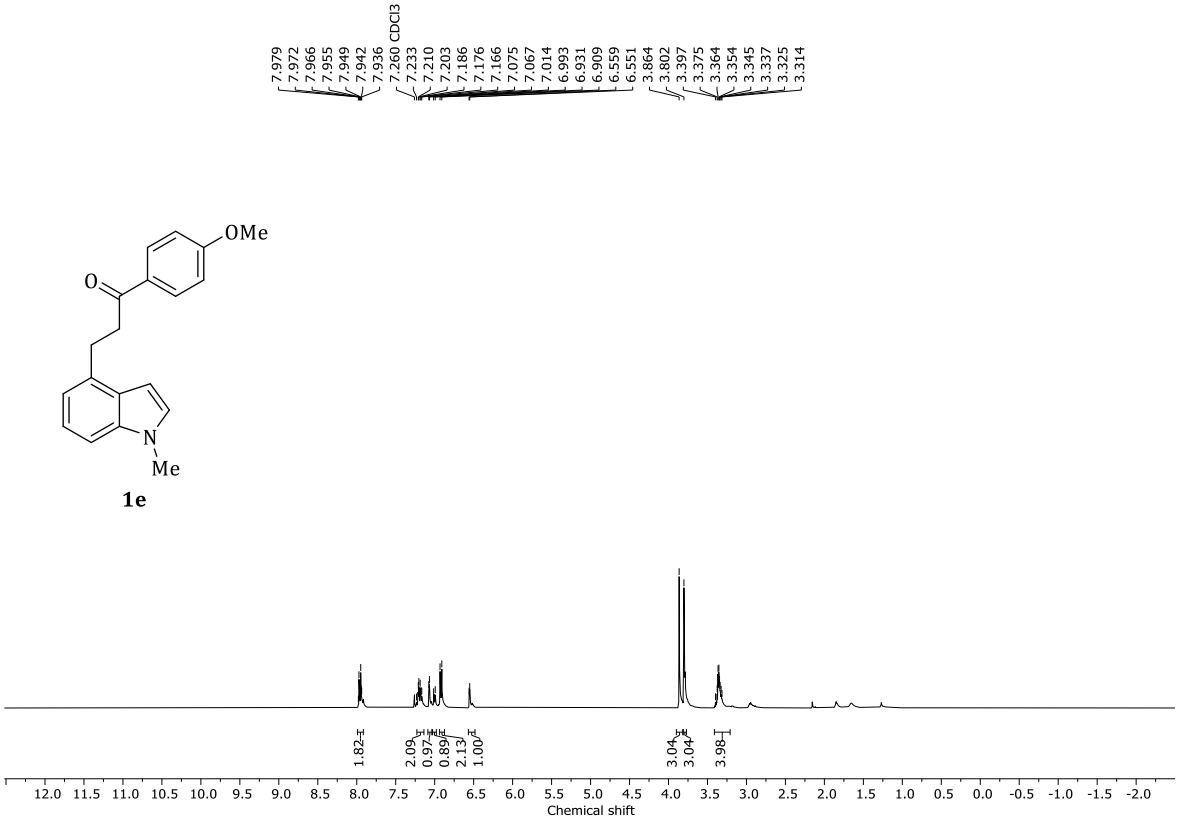
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of **1b**.



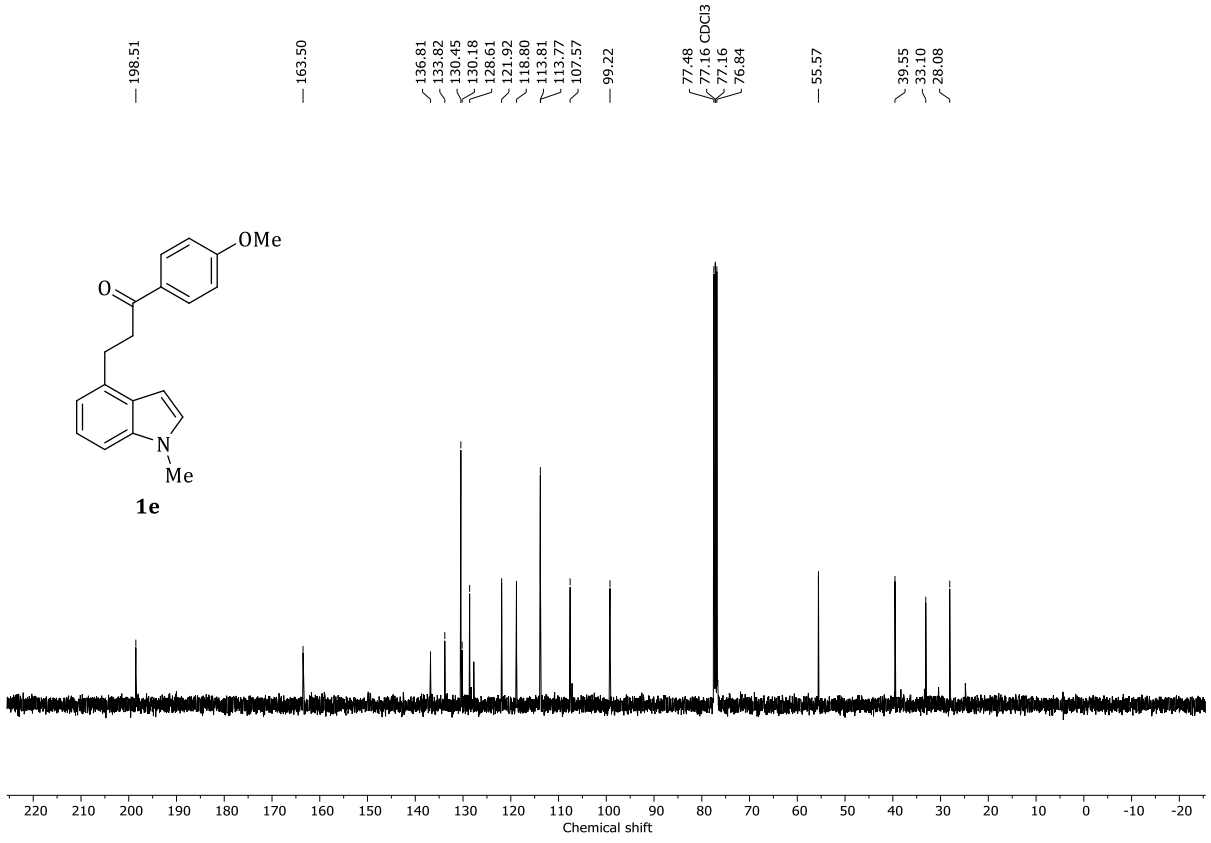
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1d**.



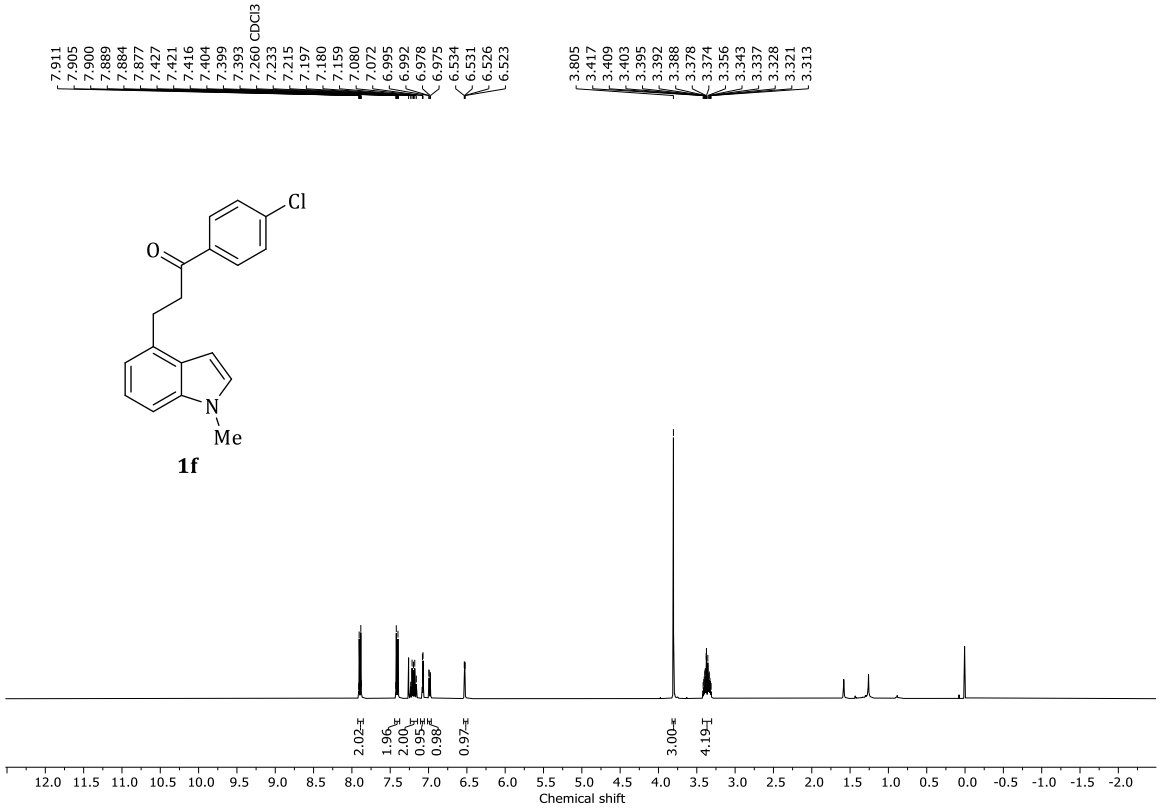
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1d**.



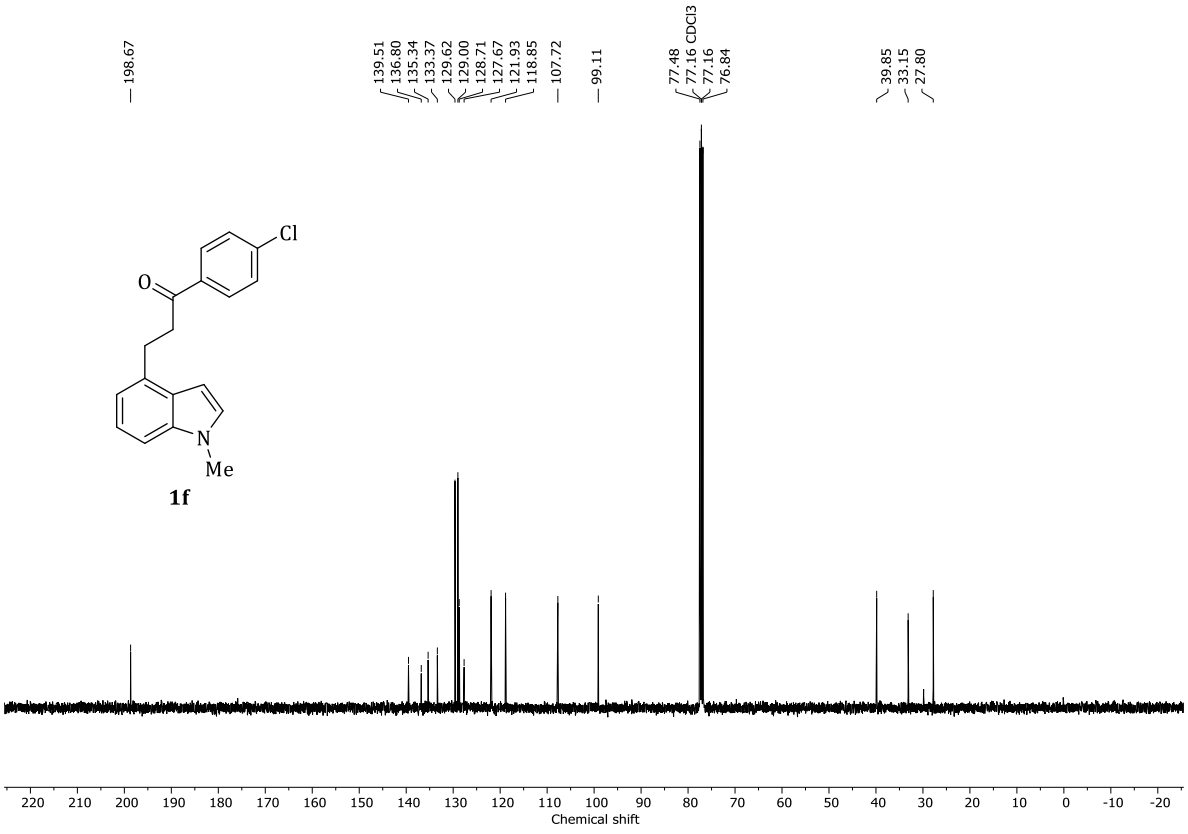
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1e**.



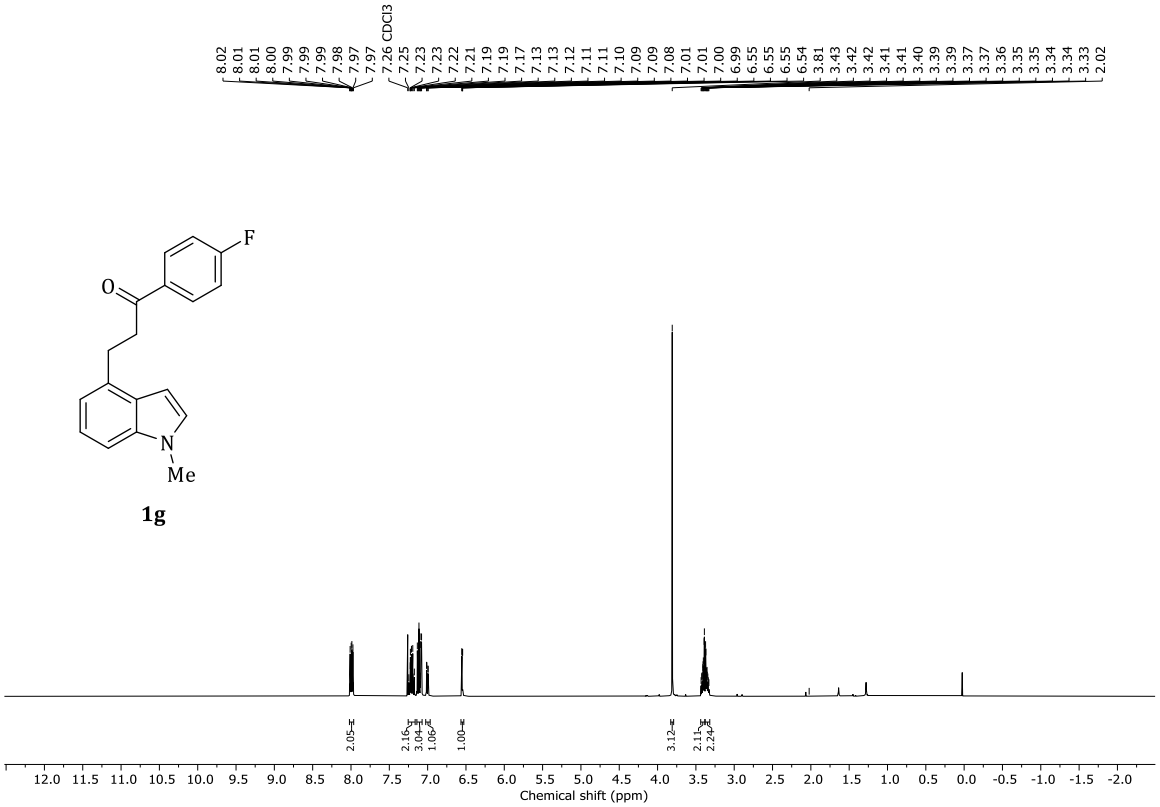
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1e**.



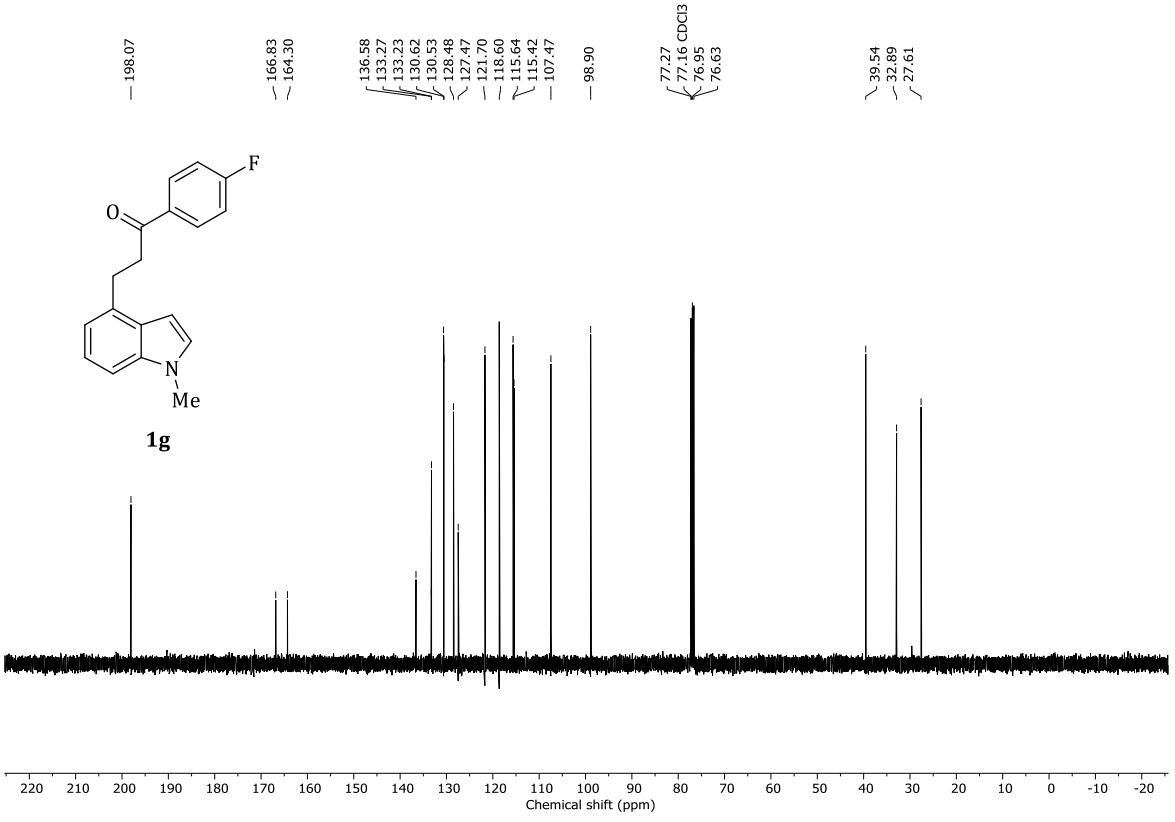
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1f**.



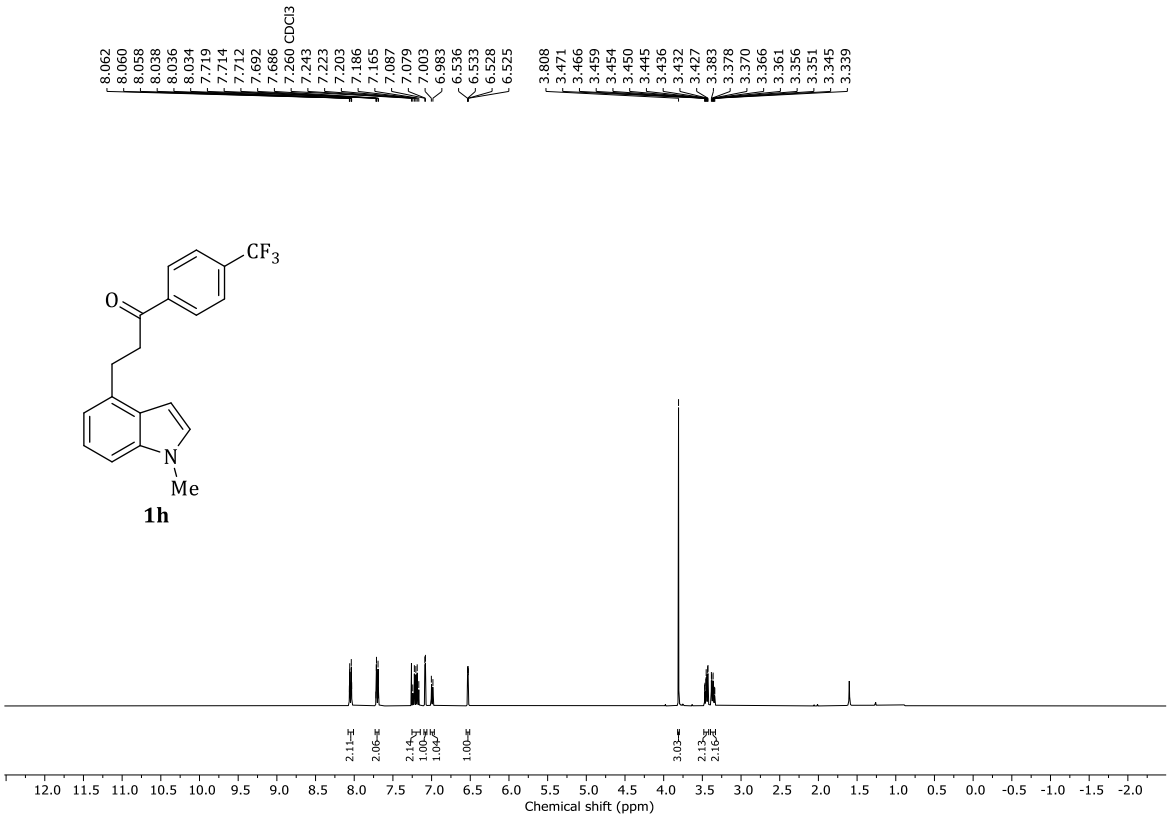
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1f**.



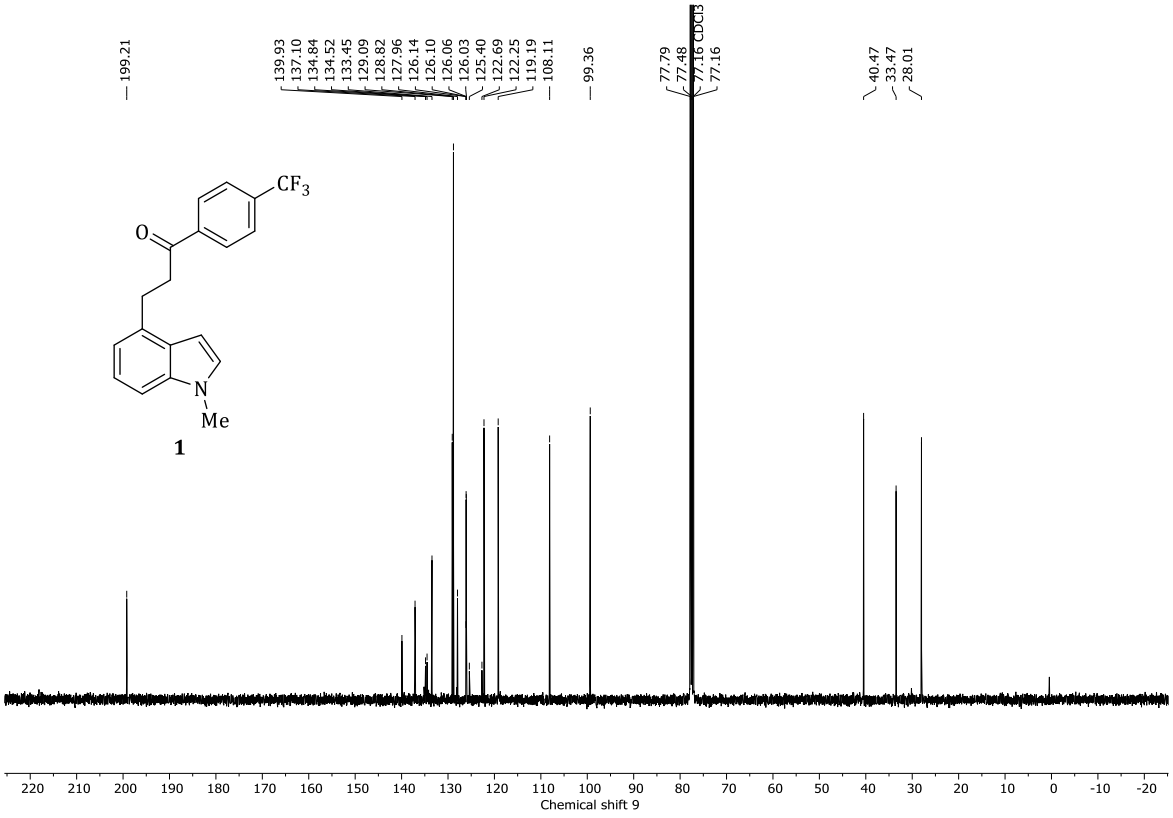
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1g**.



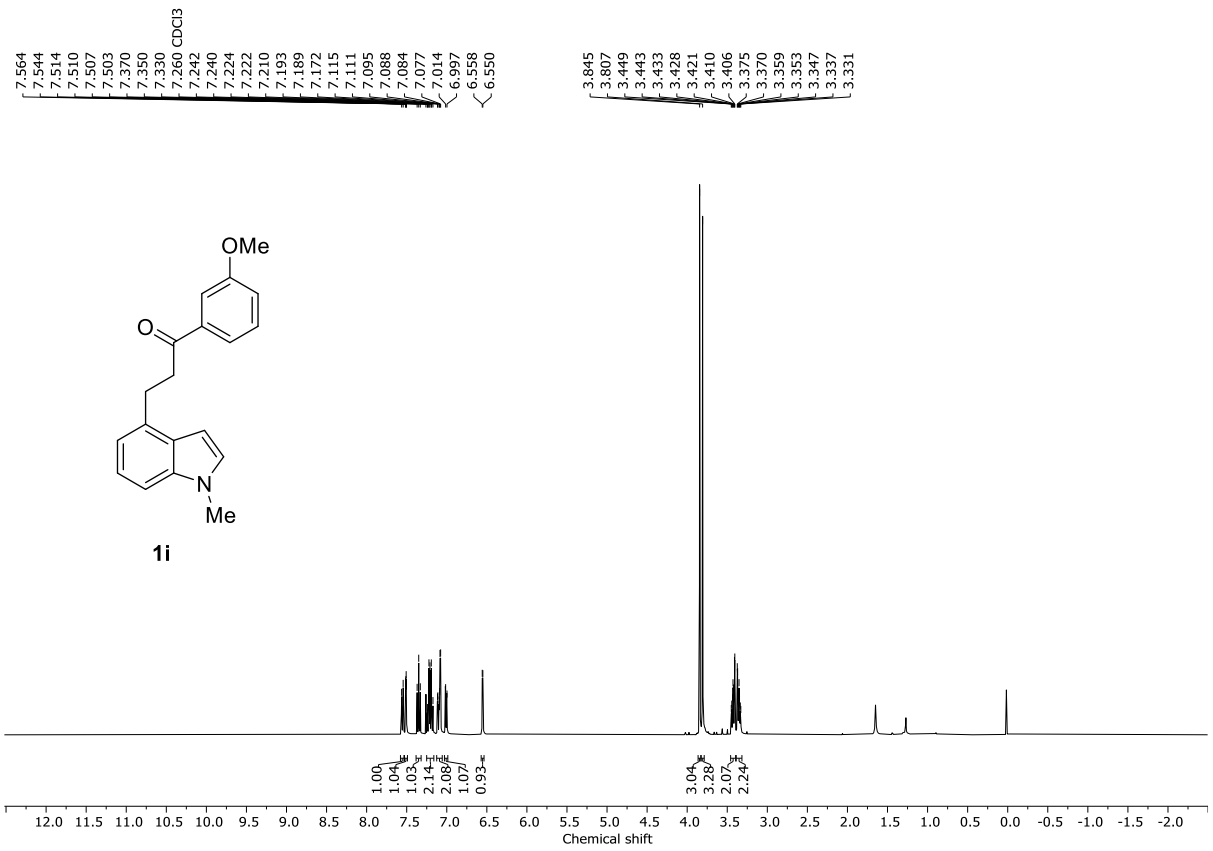
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1g**.



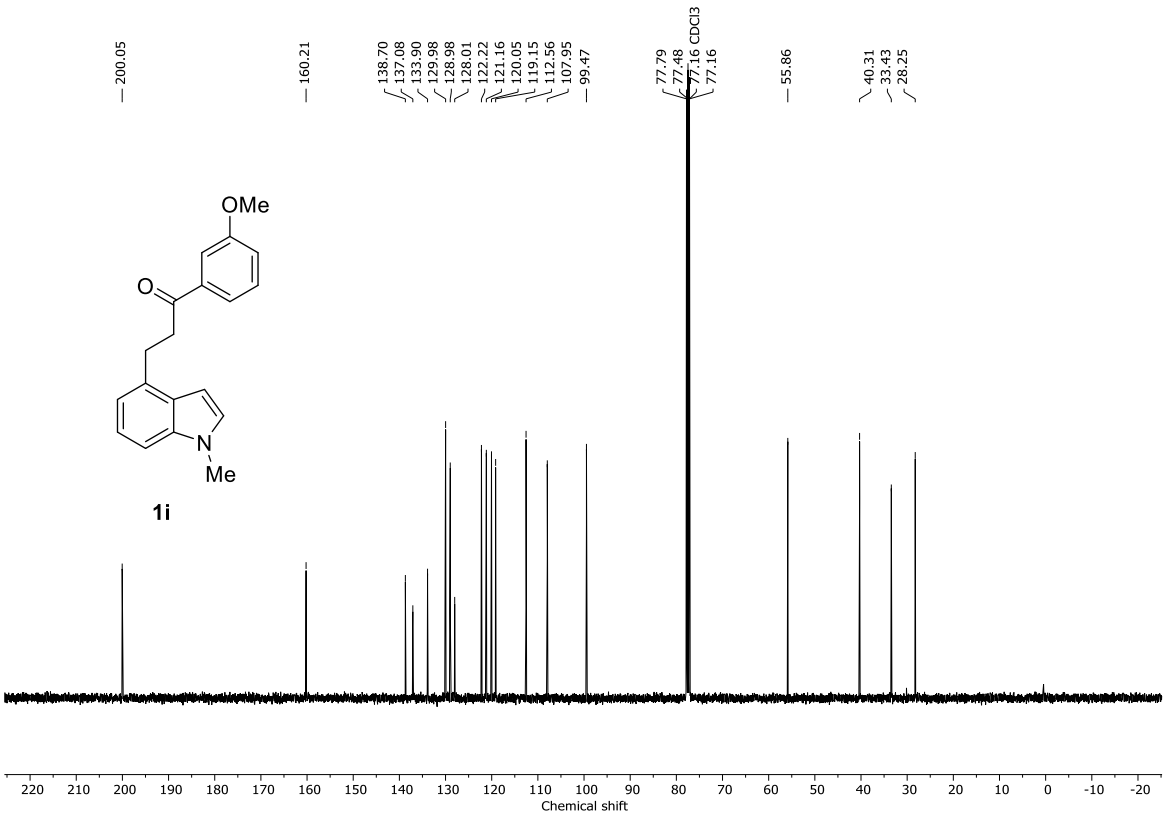
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1h**.



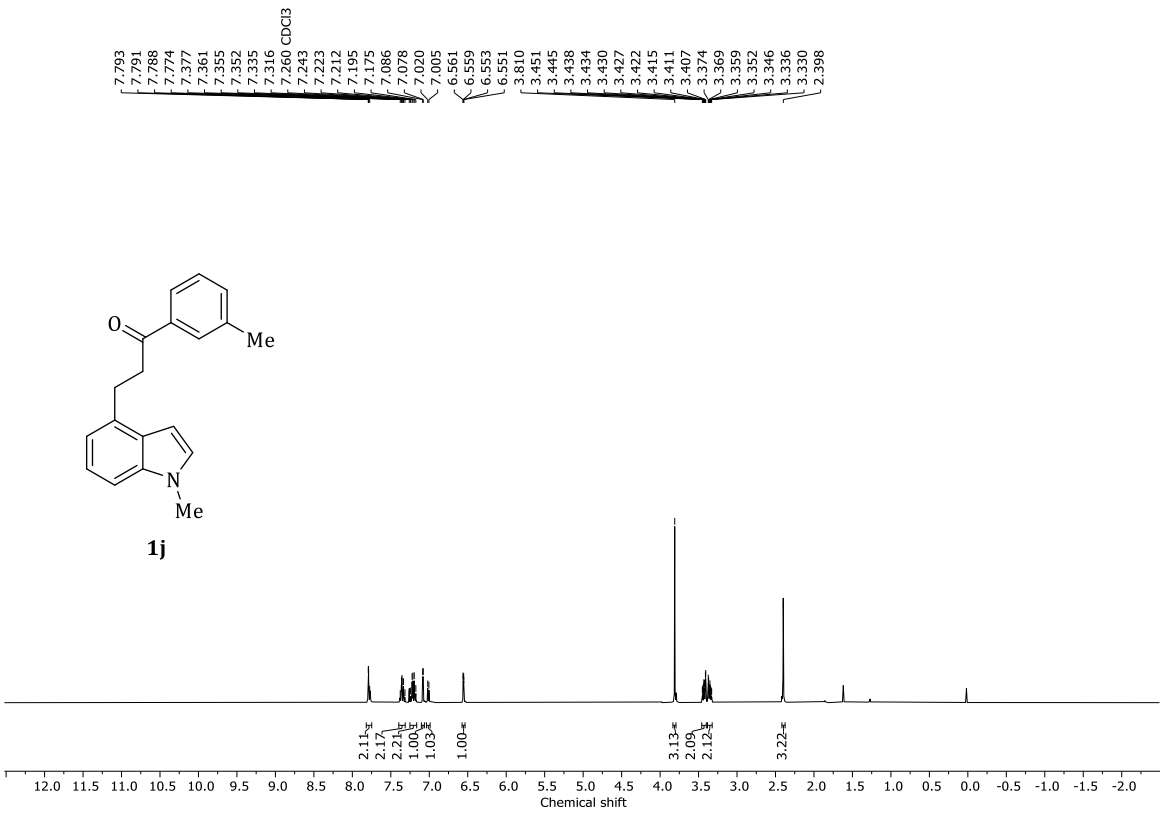
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1h**.



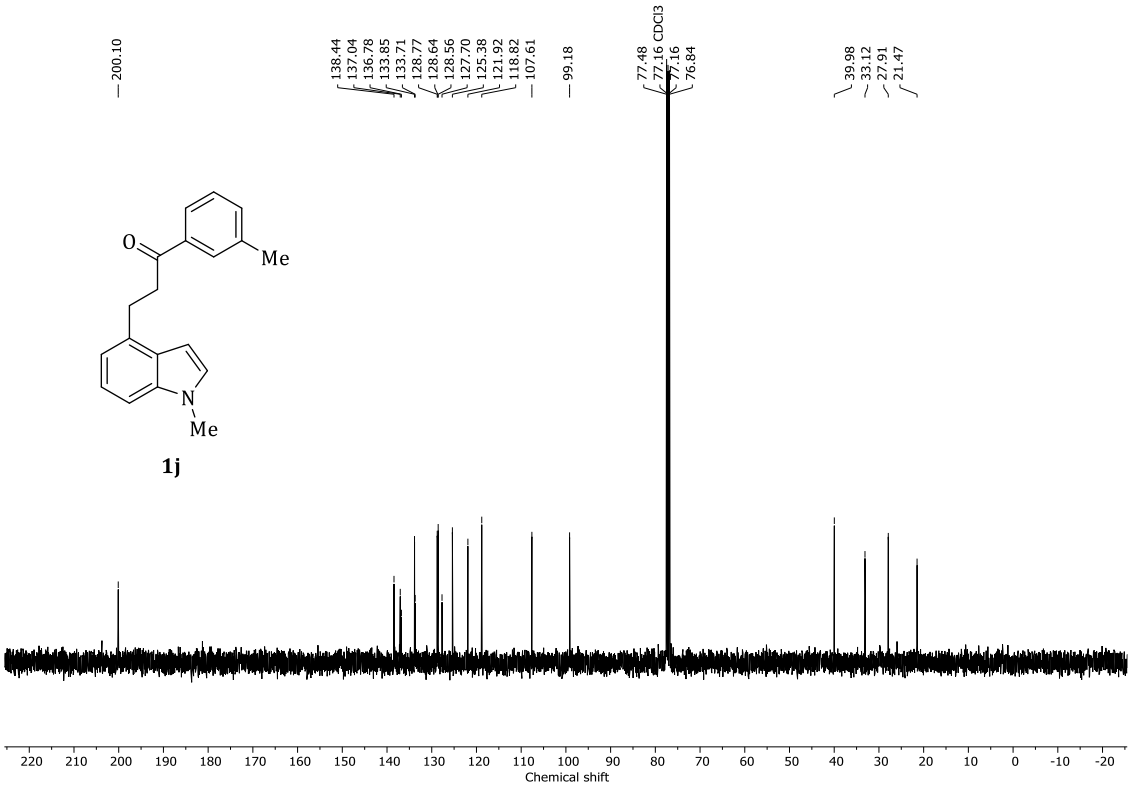
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1i**.



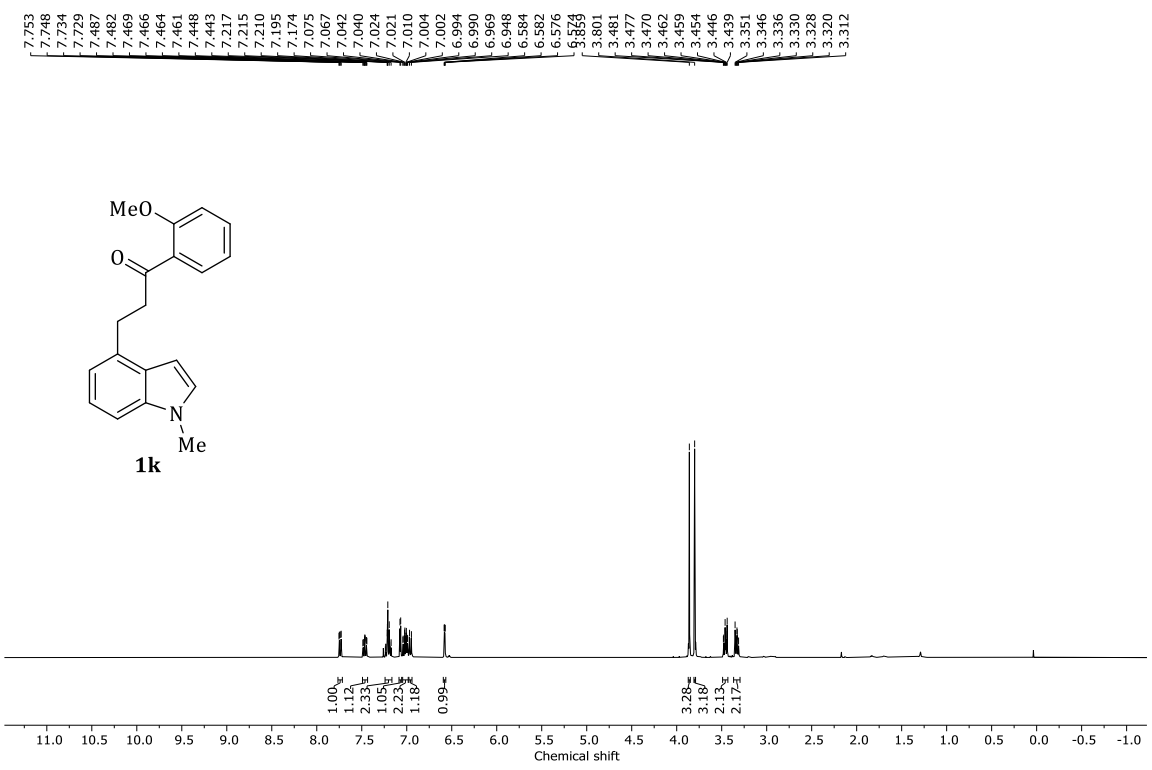
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of **1i**.



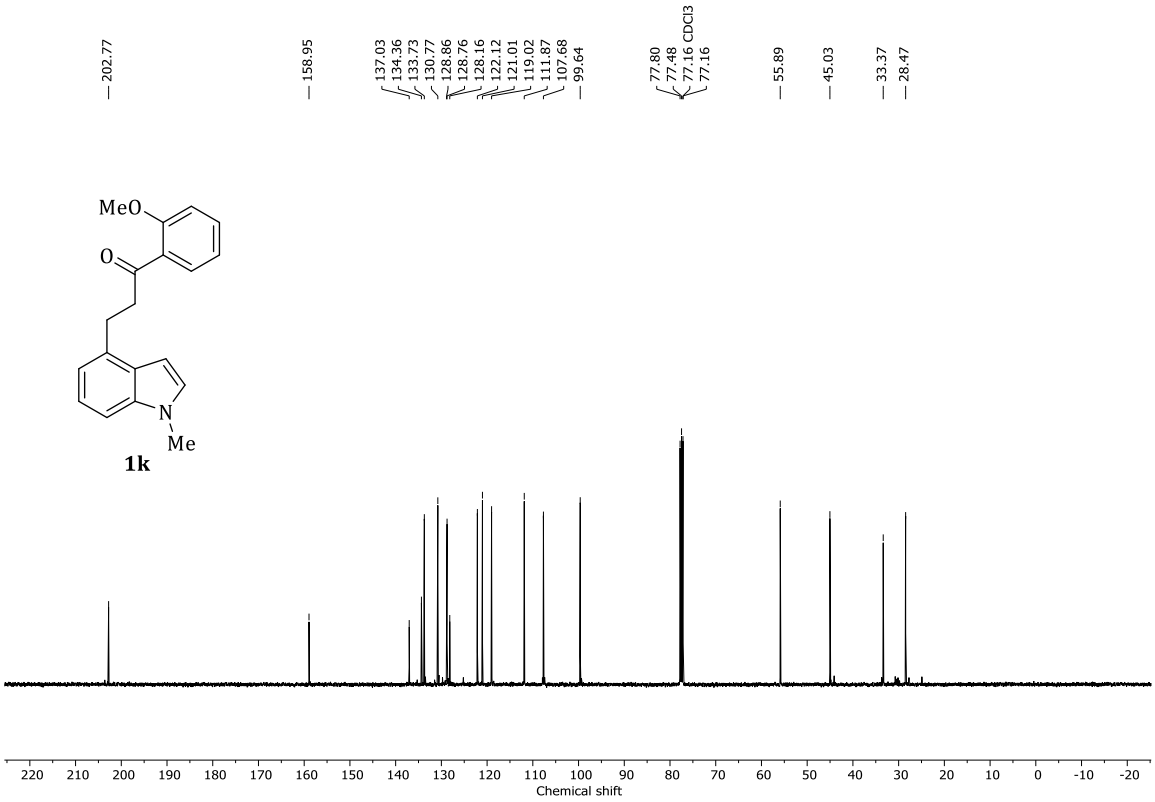
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1j**.



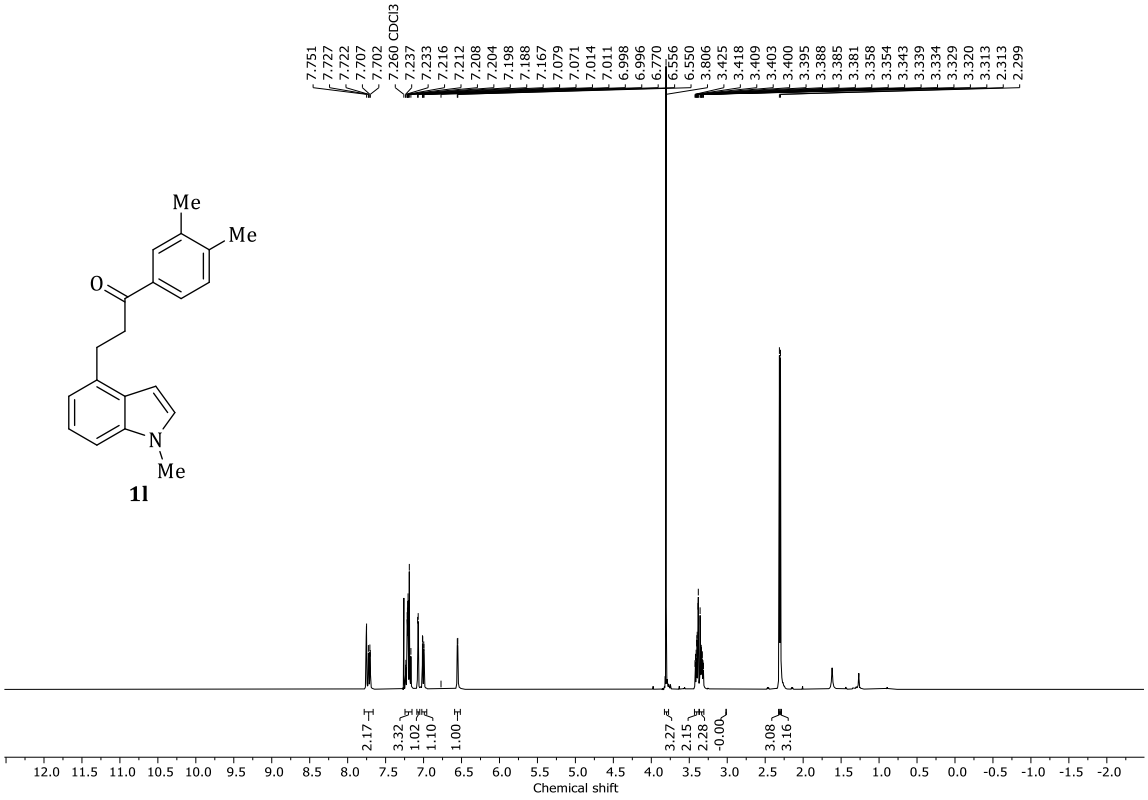
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1j**.



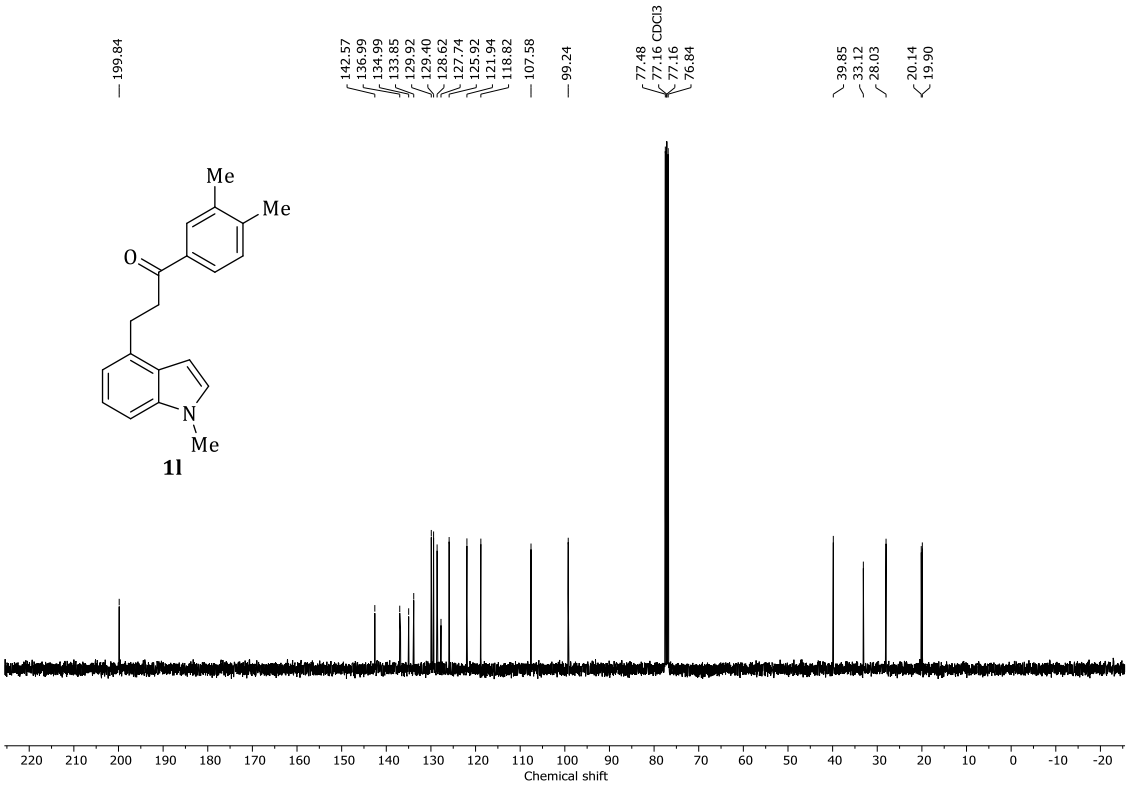
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1k**.



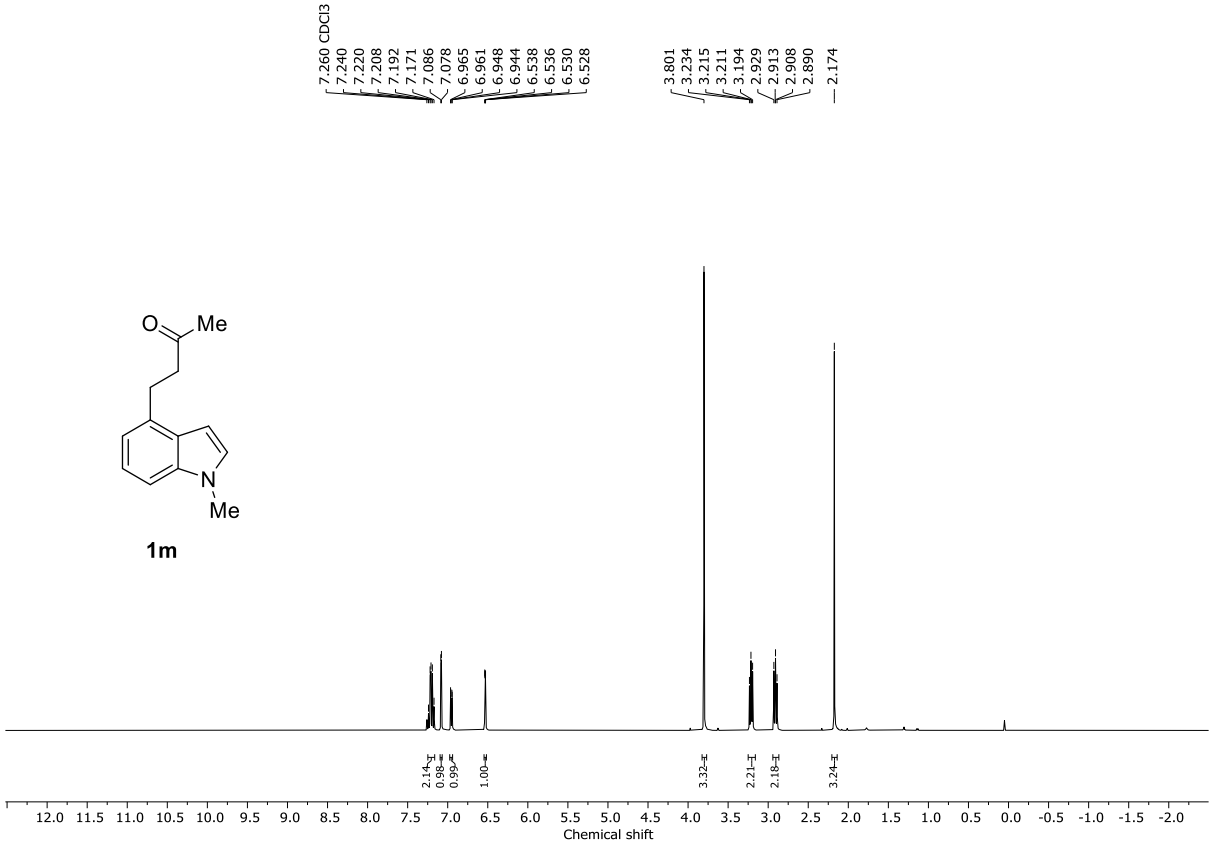
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1k**.



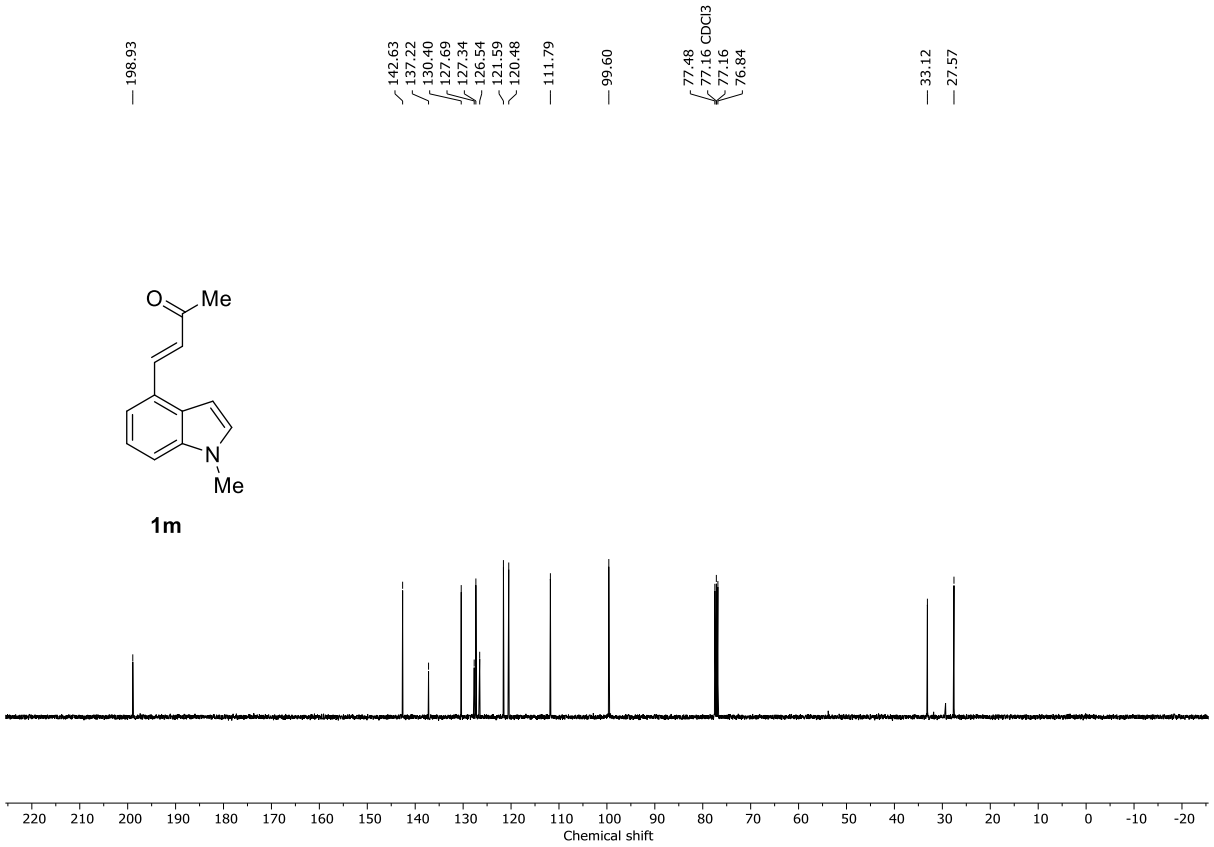
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1l**.



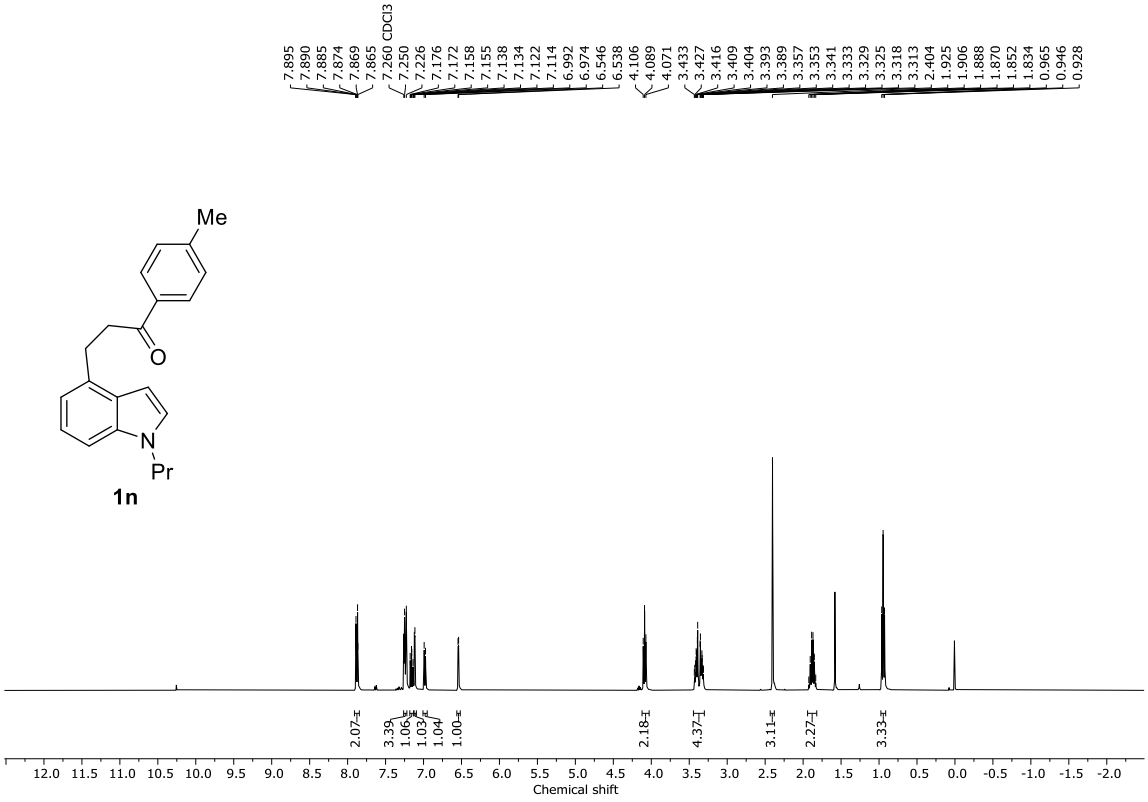
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1l**.



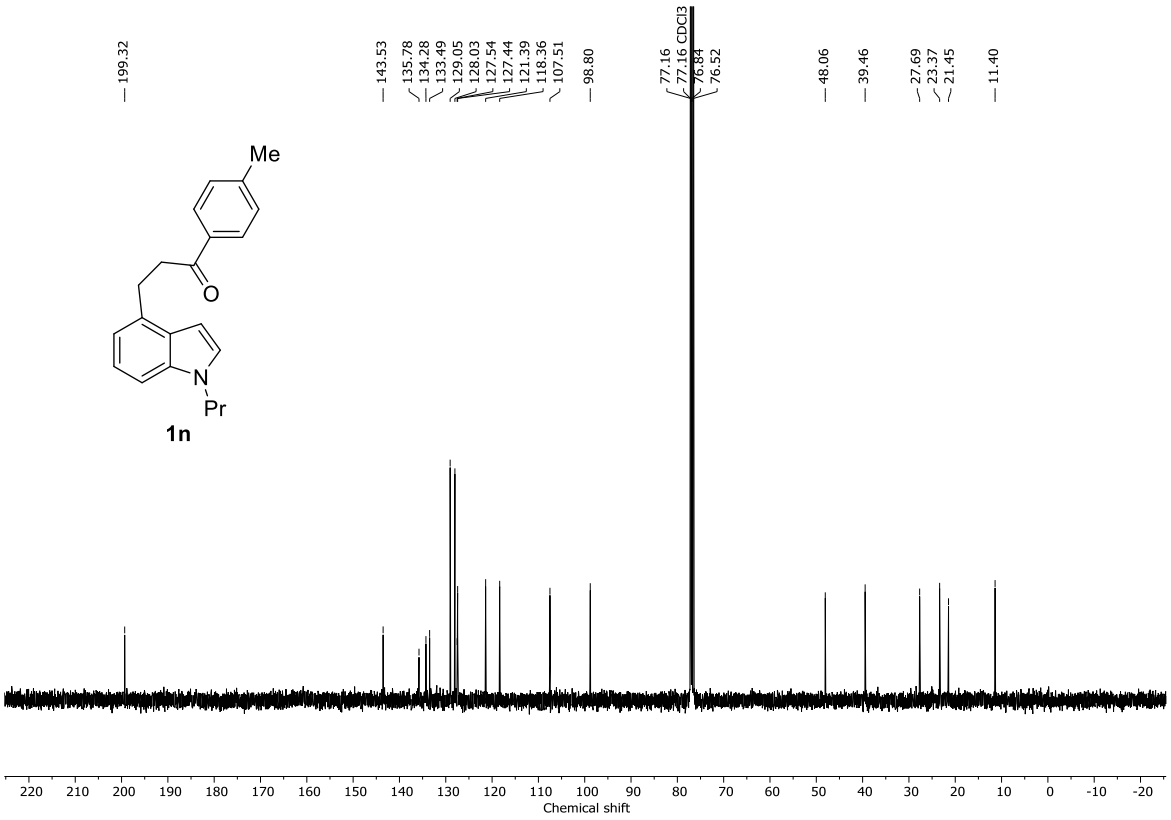
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1m**.



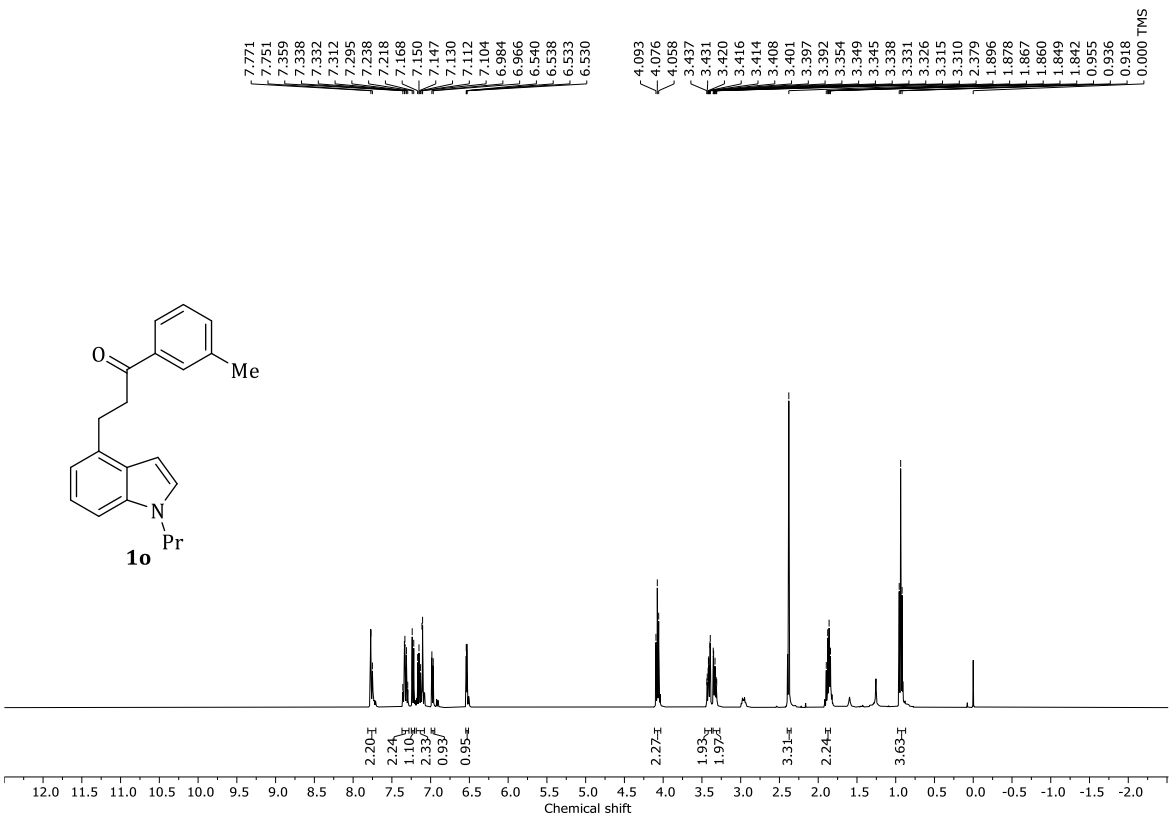
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of **1m**.



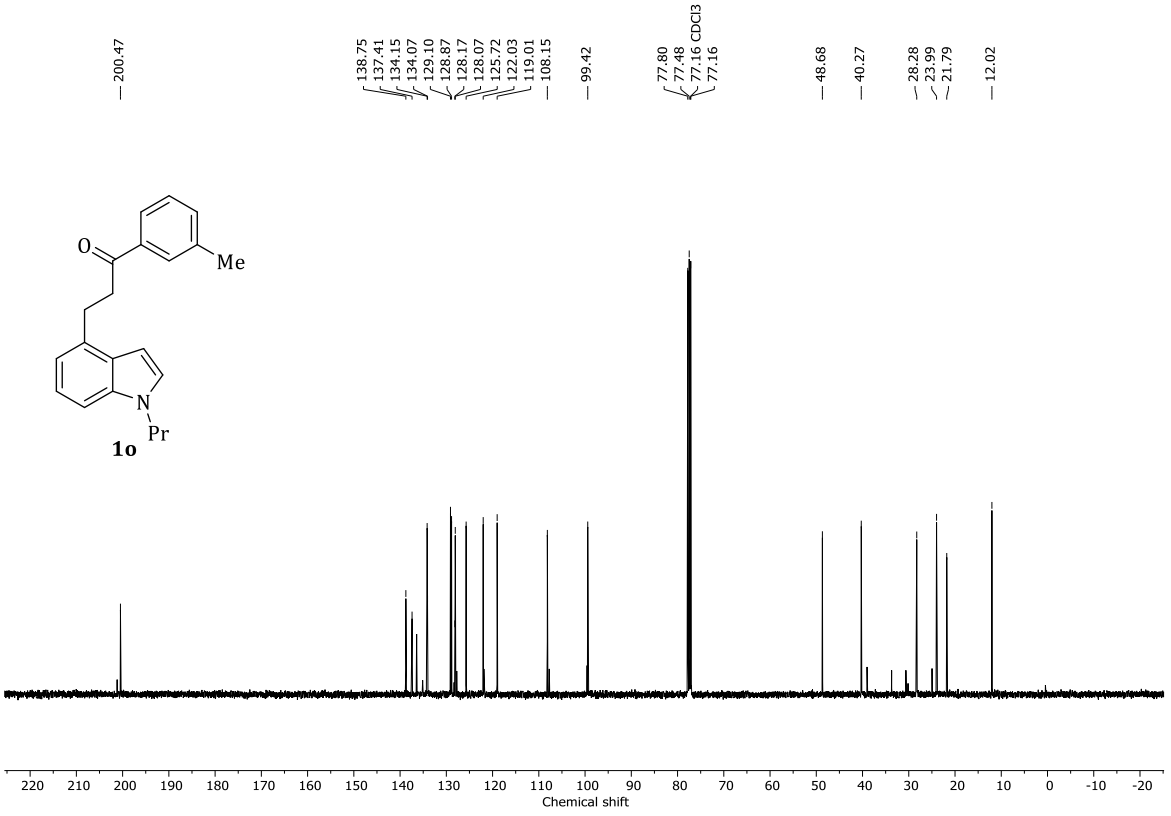
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1n**.



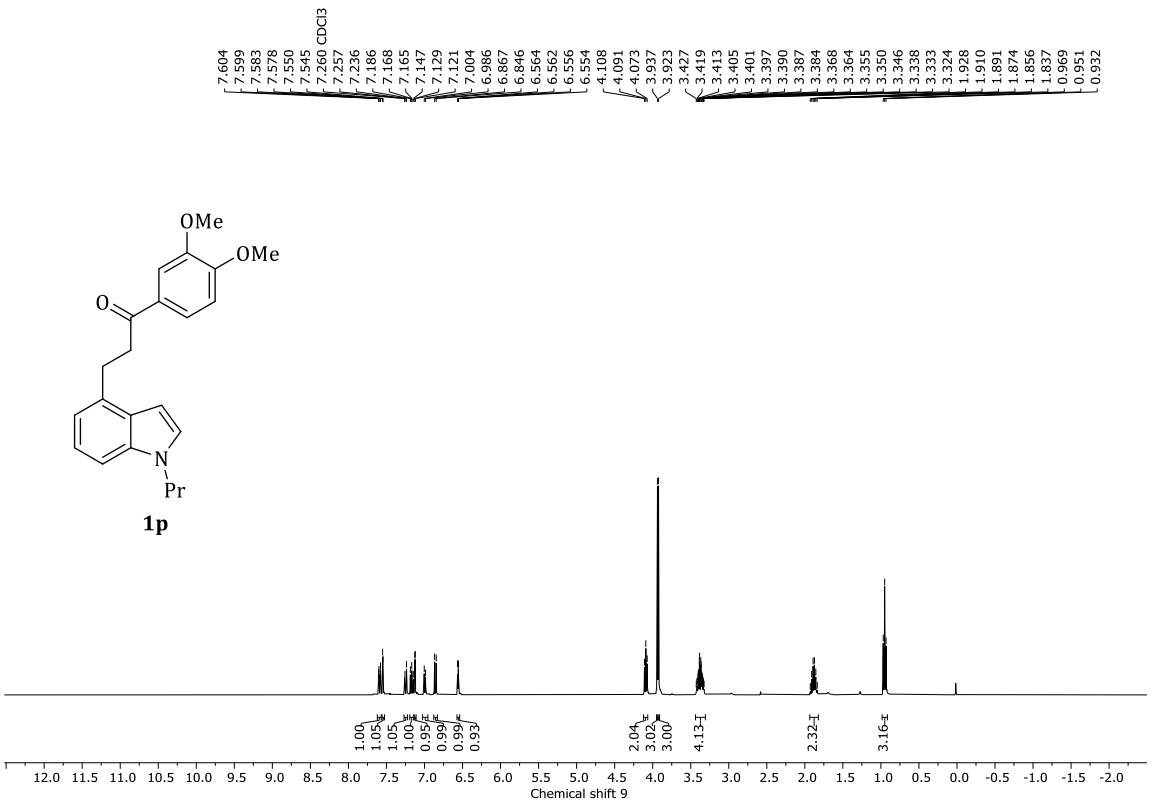
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1n**.



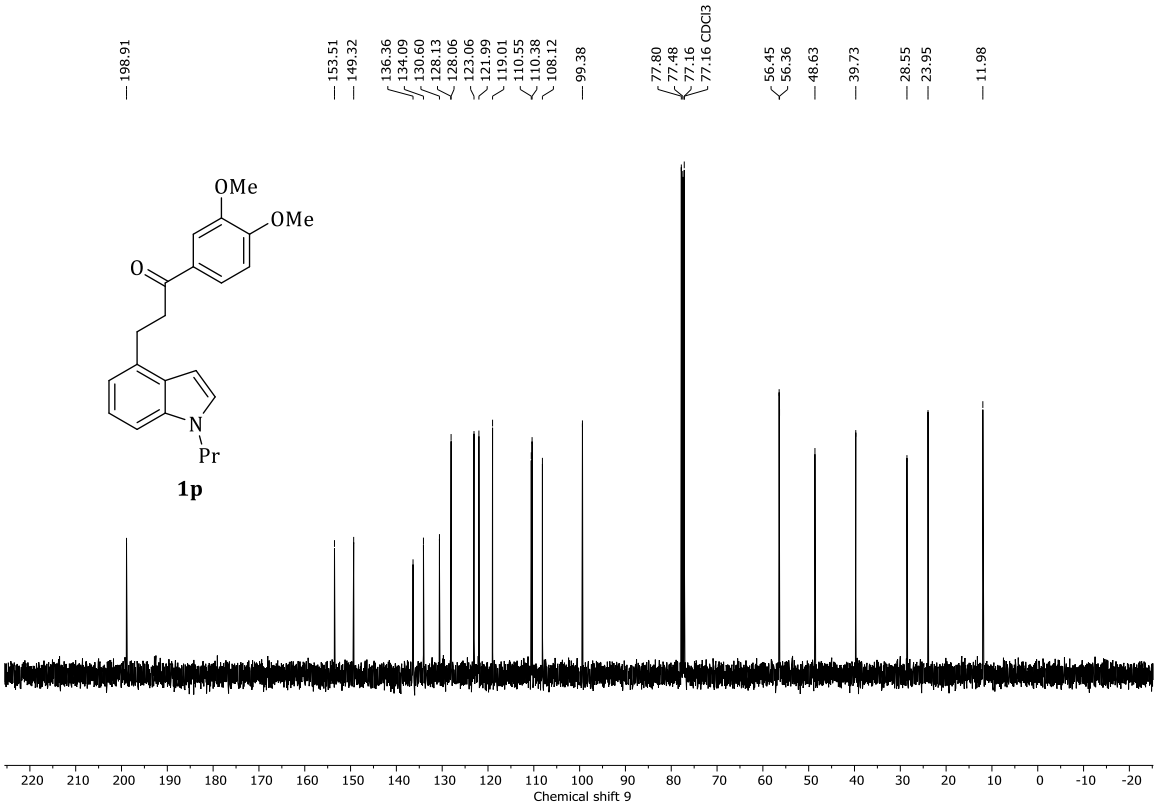
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1o**.



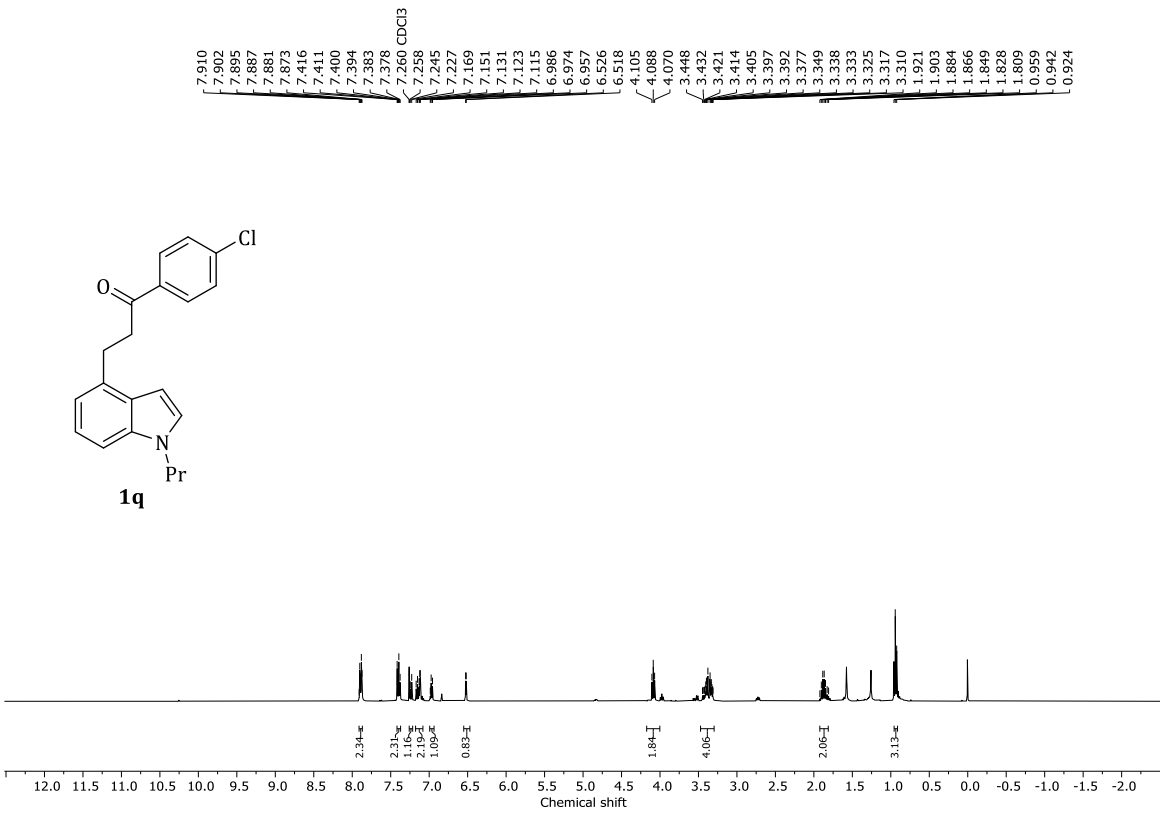
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1o**.



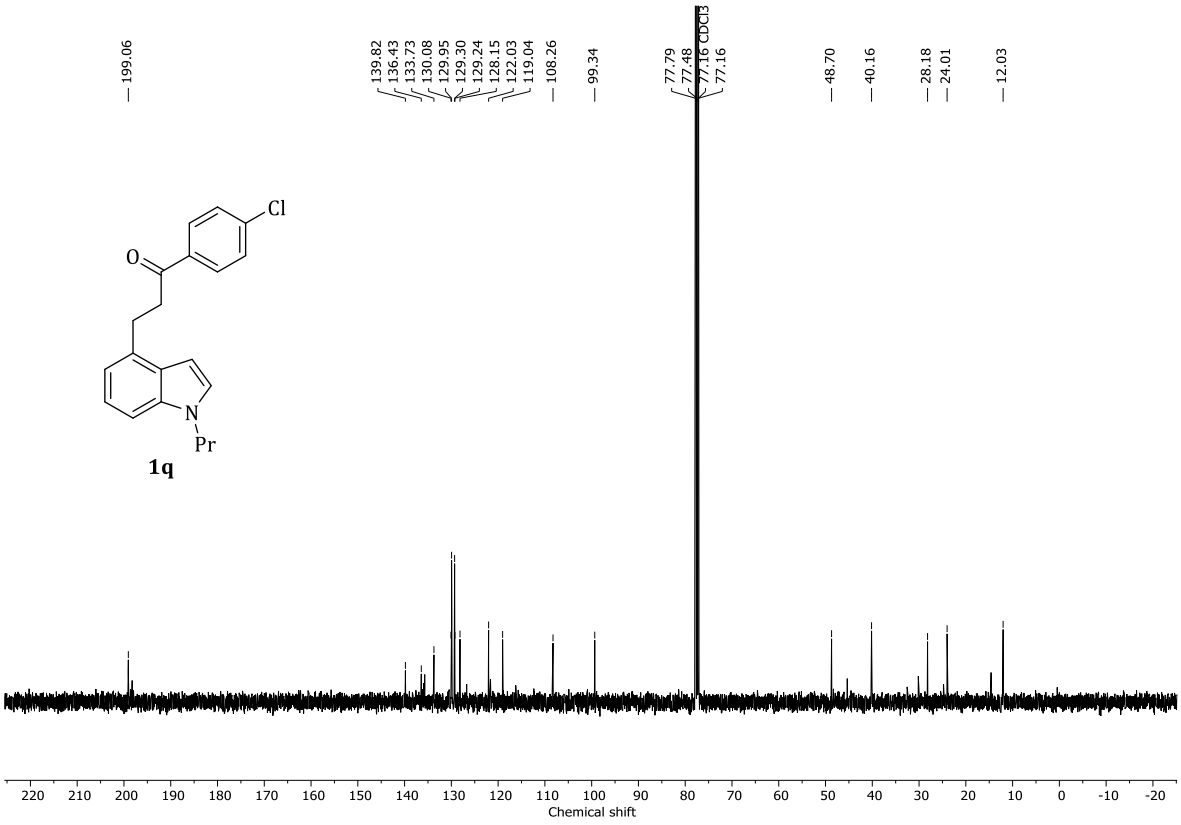
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1p**.



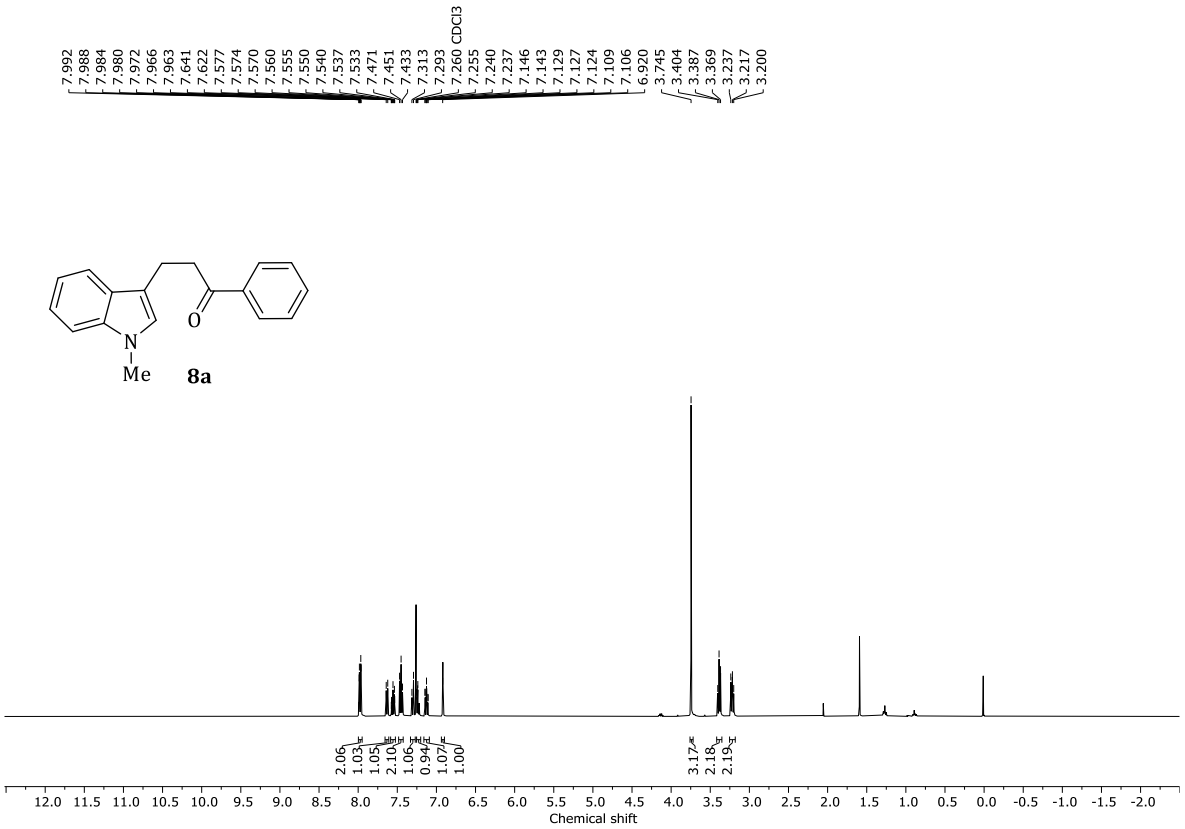
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1p**.



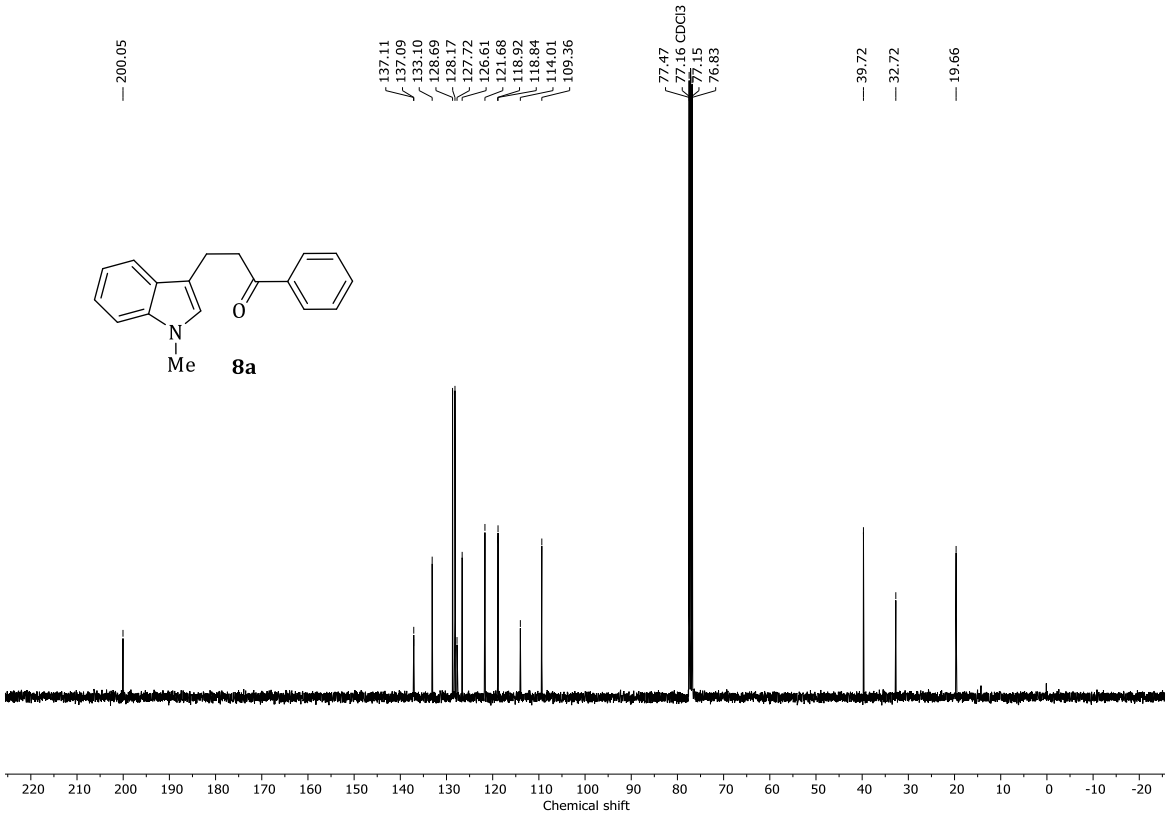
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **1q**.



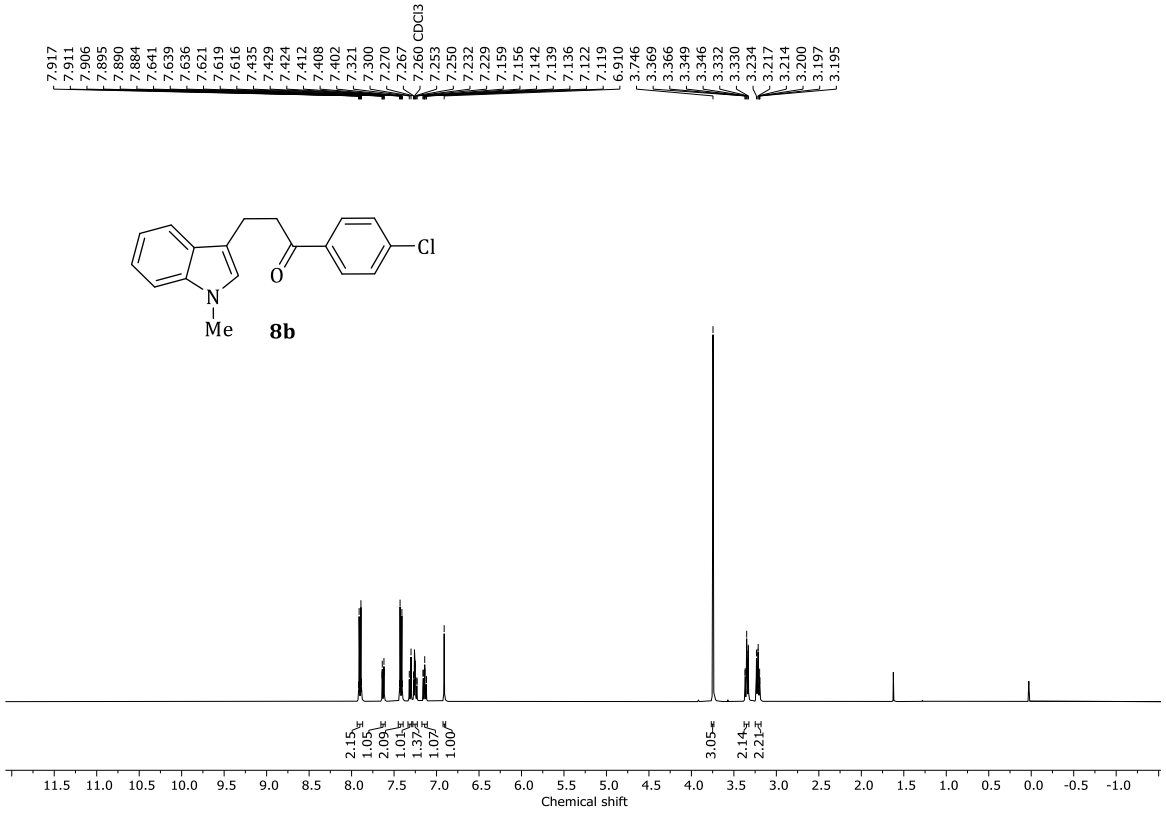
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **1q.**



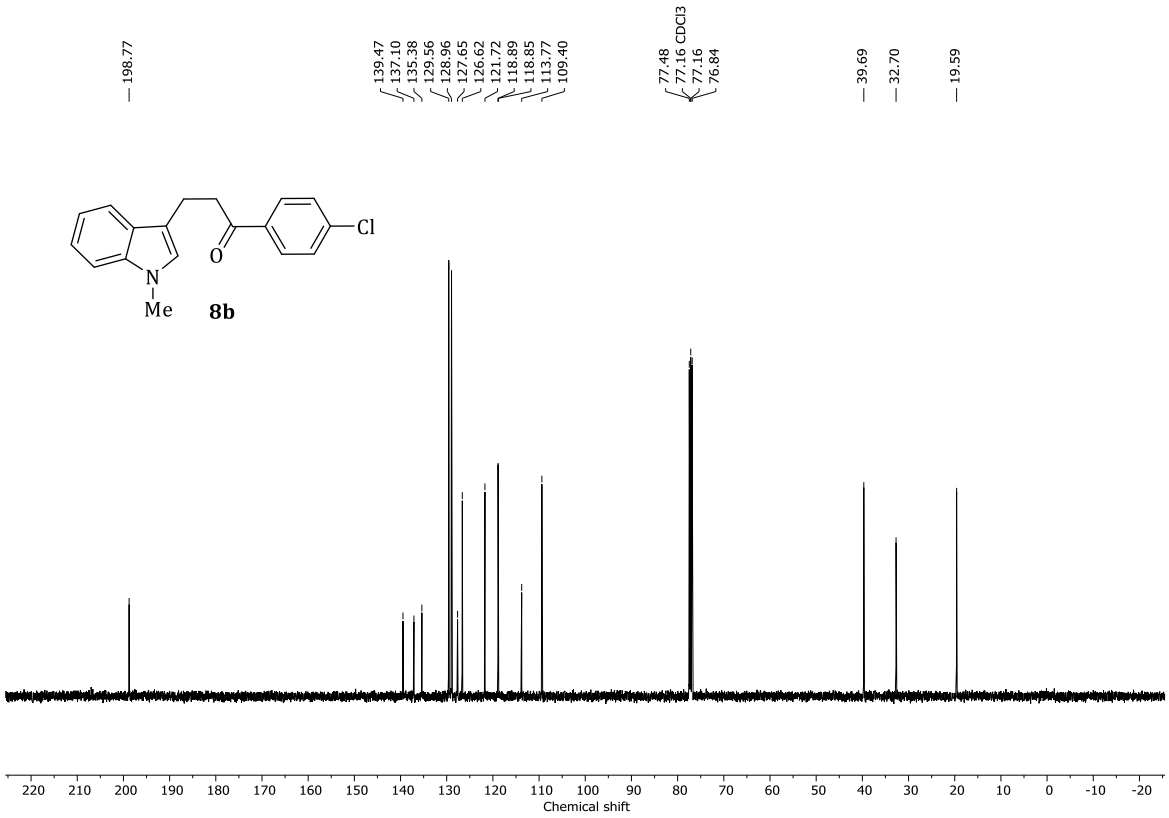
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **8a**.



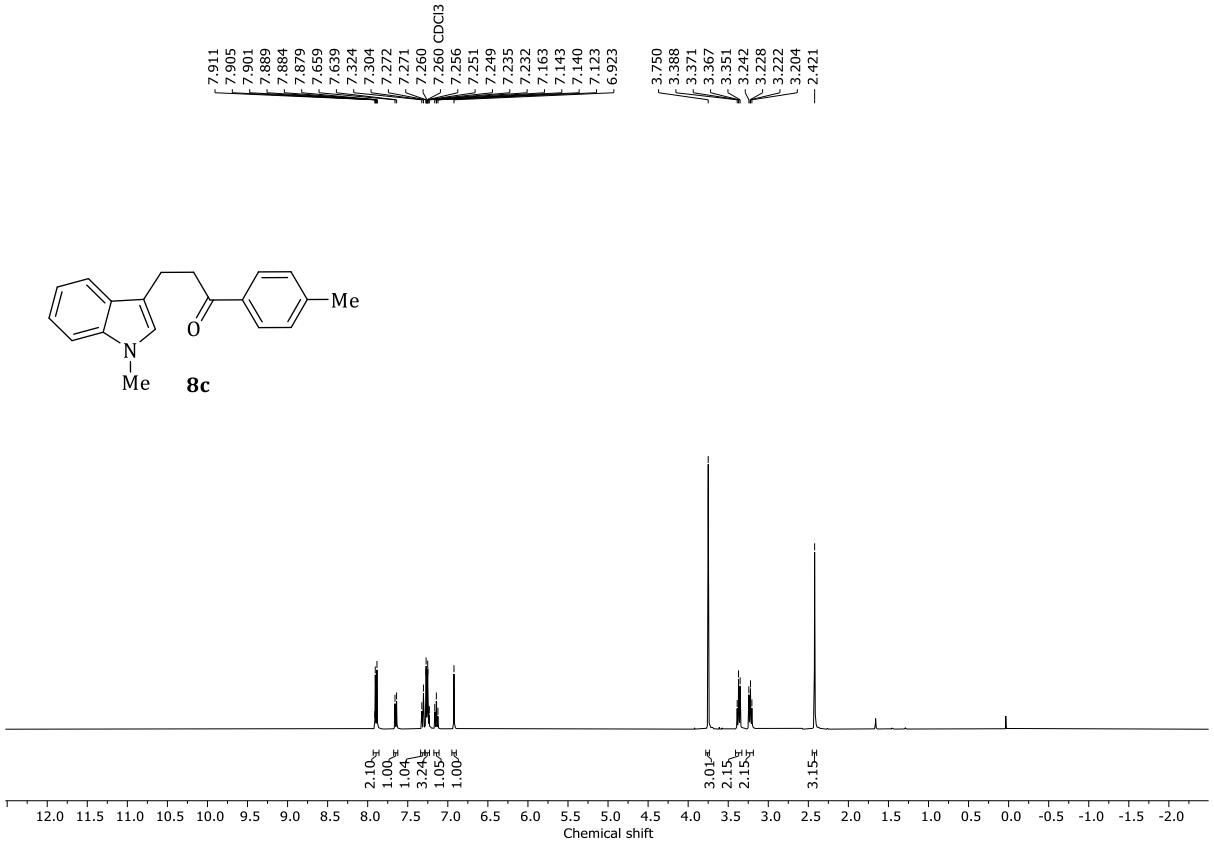
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **8a**.



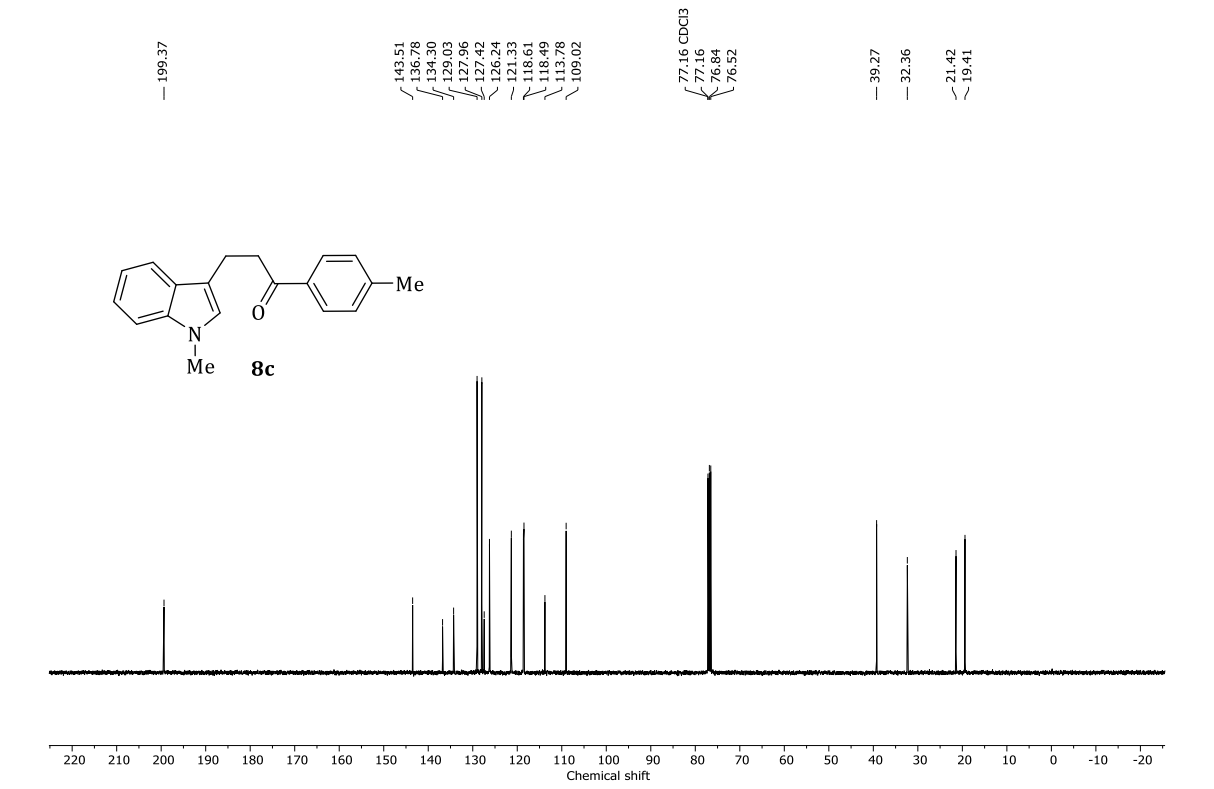
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **8b**.



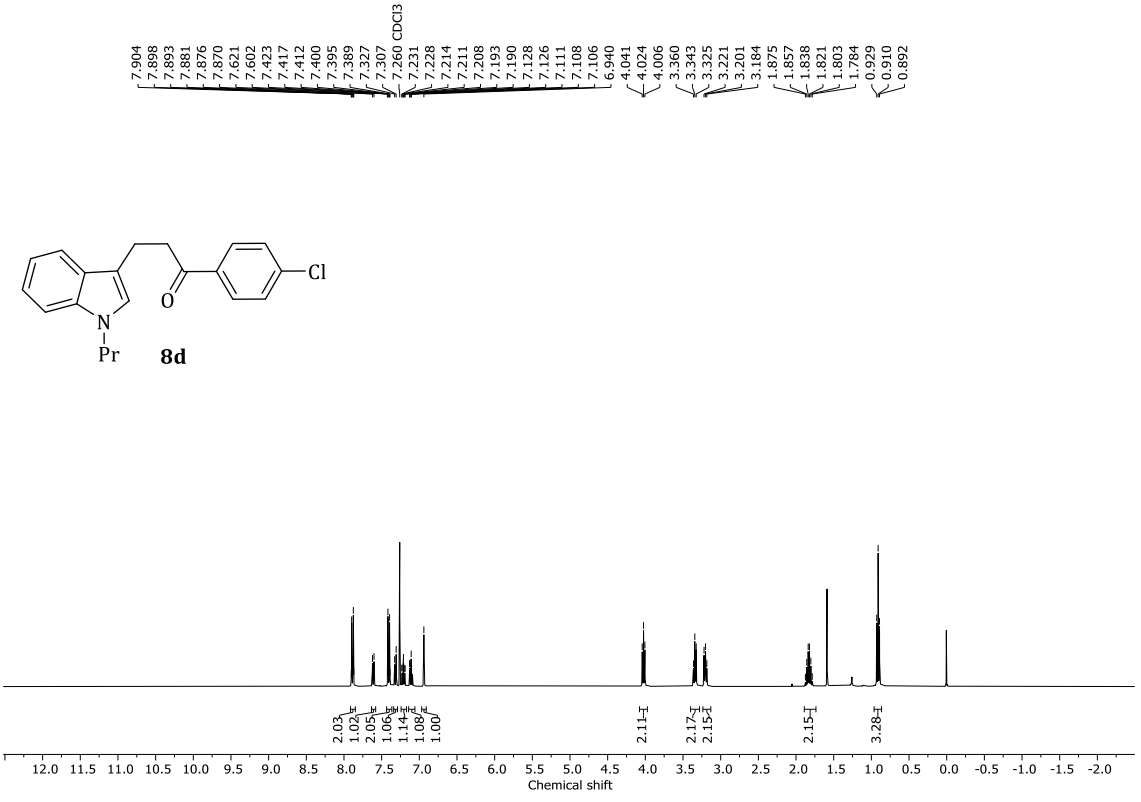
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **8b**.



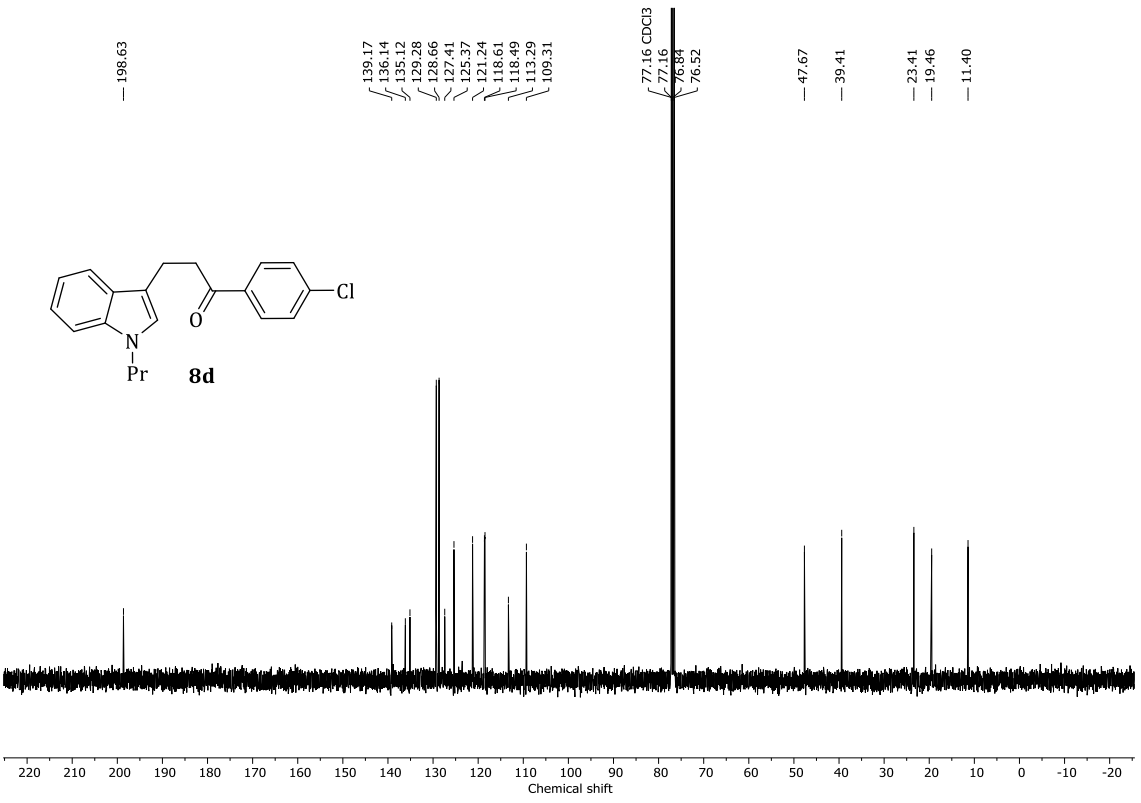
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **8c**.



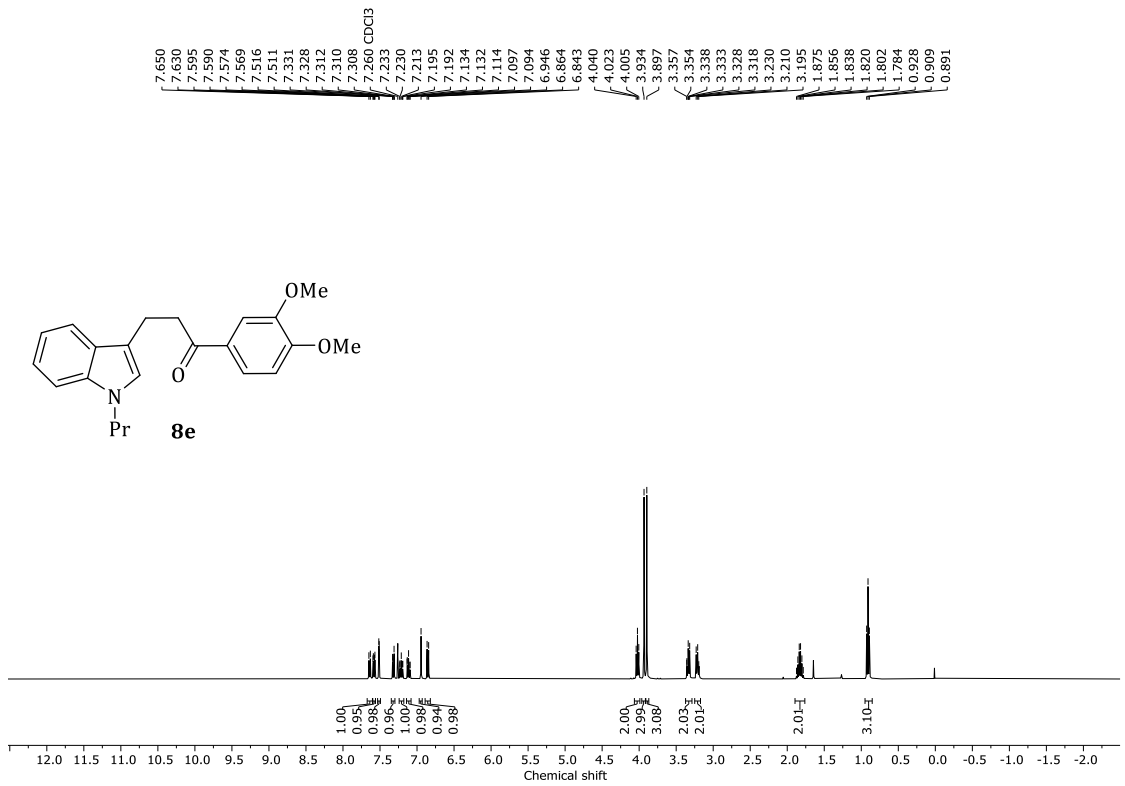
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **8c**.



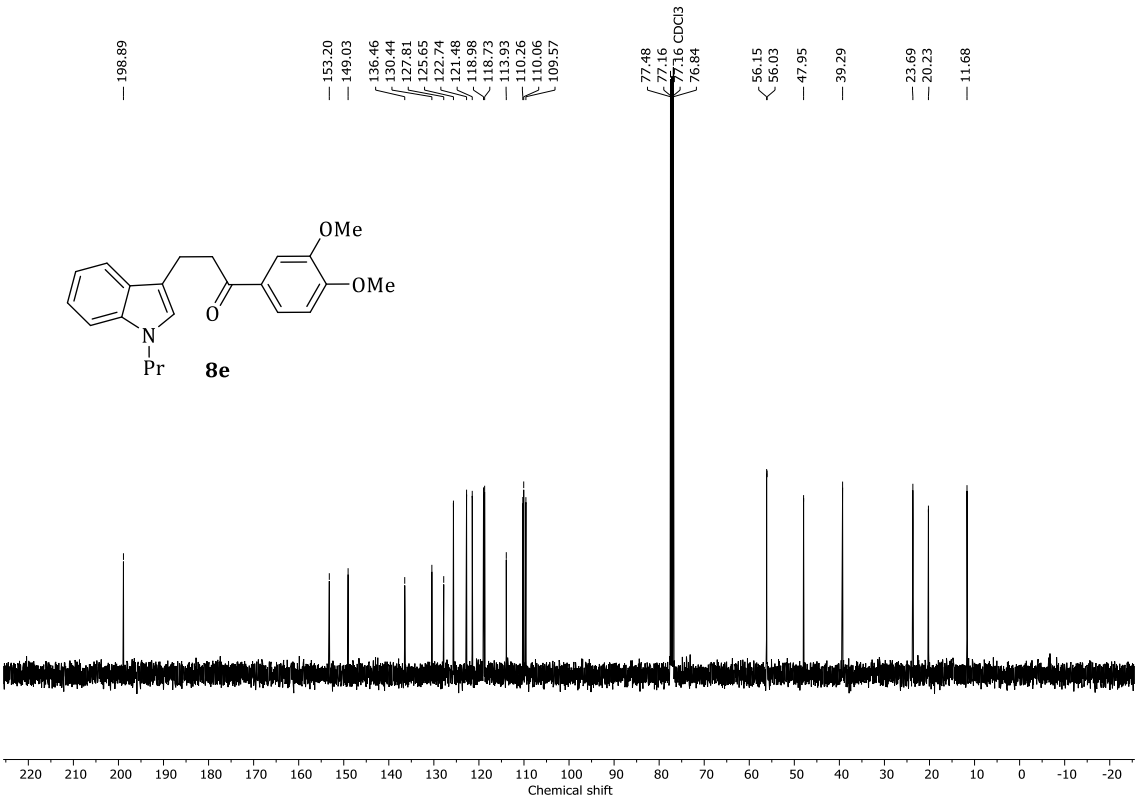
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **8d**.



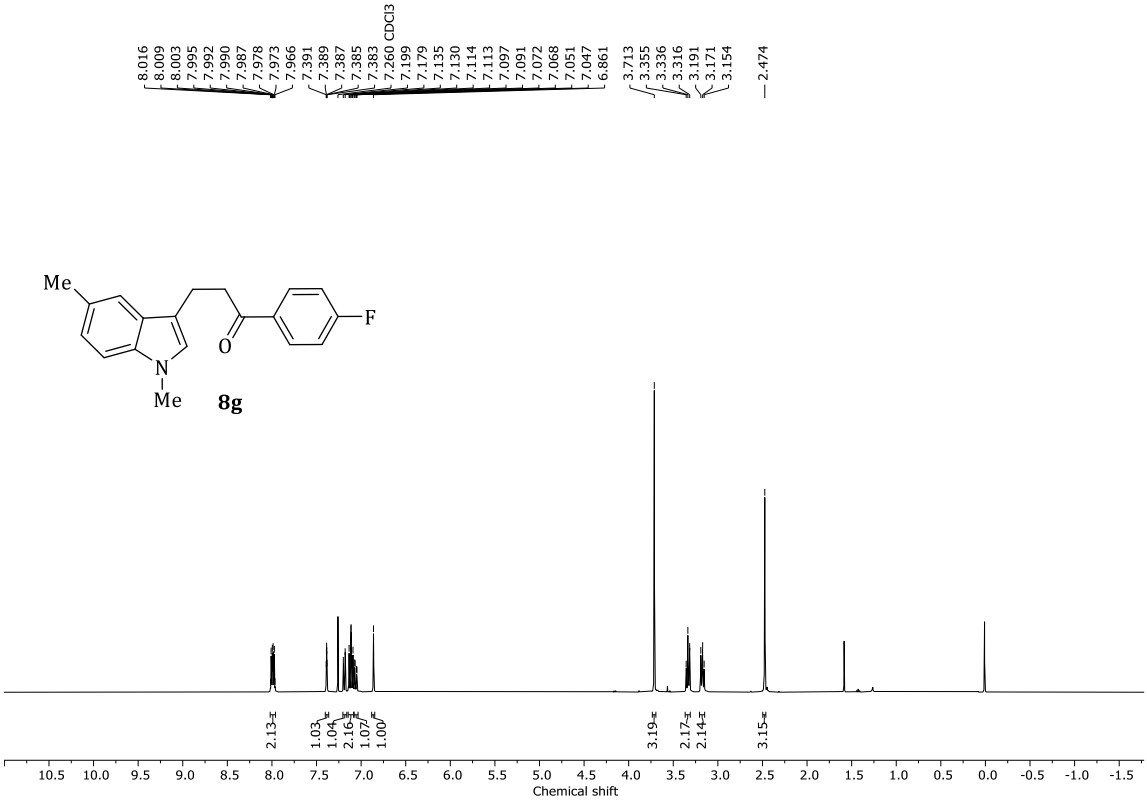
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **8d**.



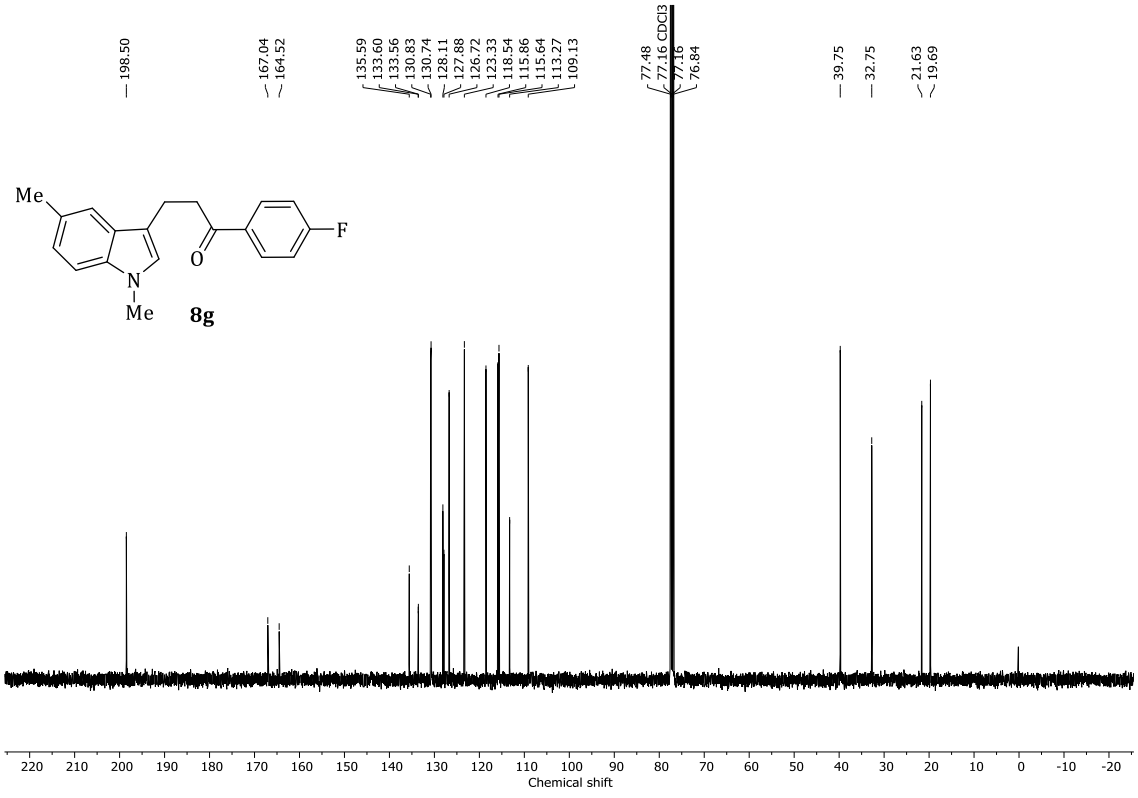
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **8e**.



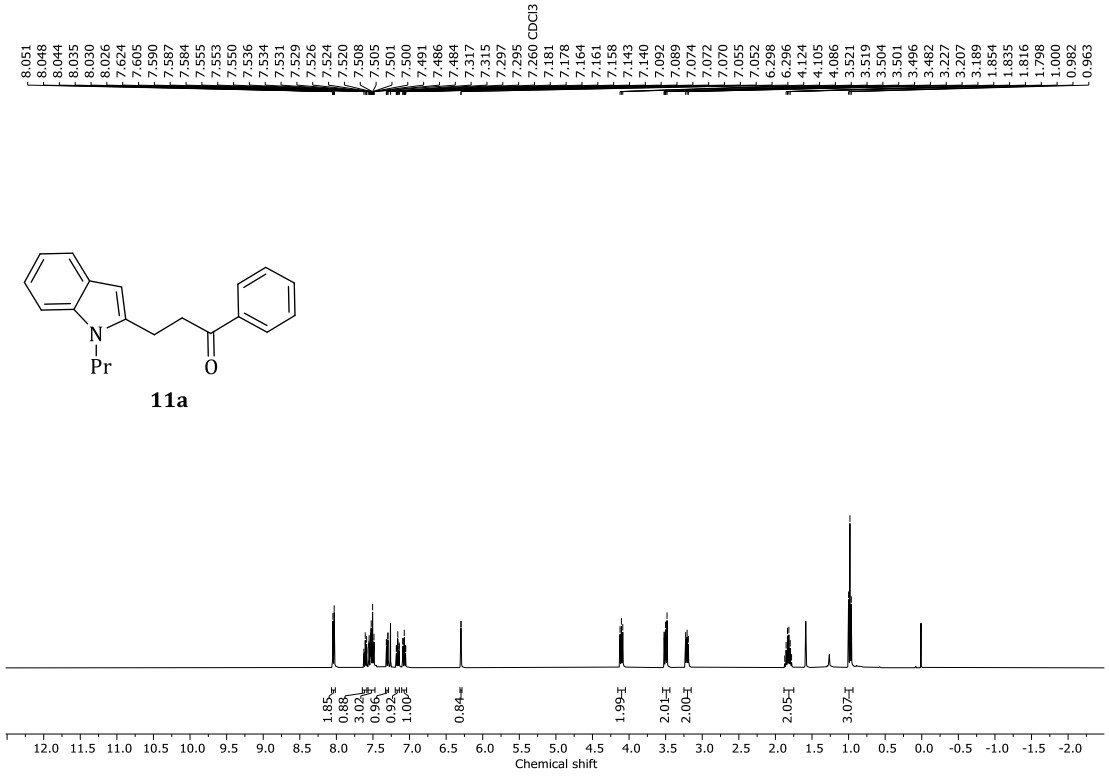
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **8e**.



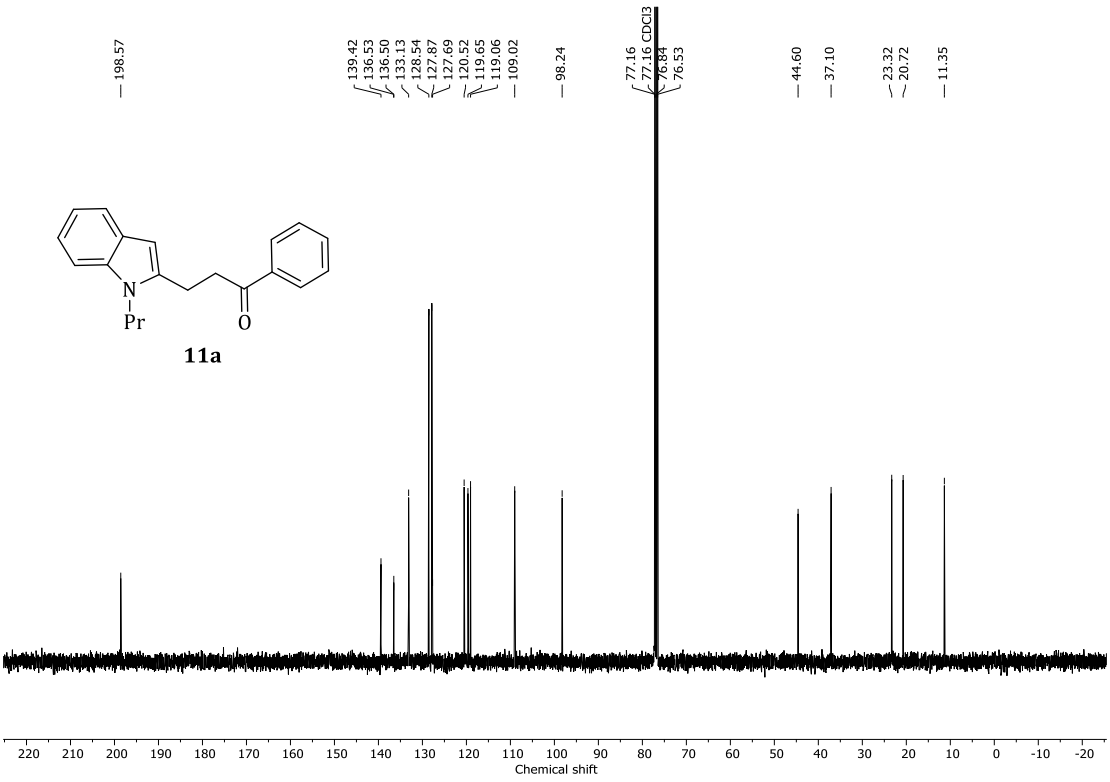
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **8g**.



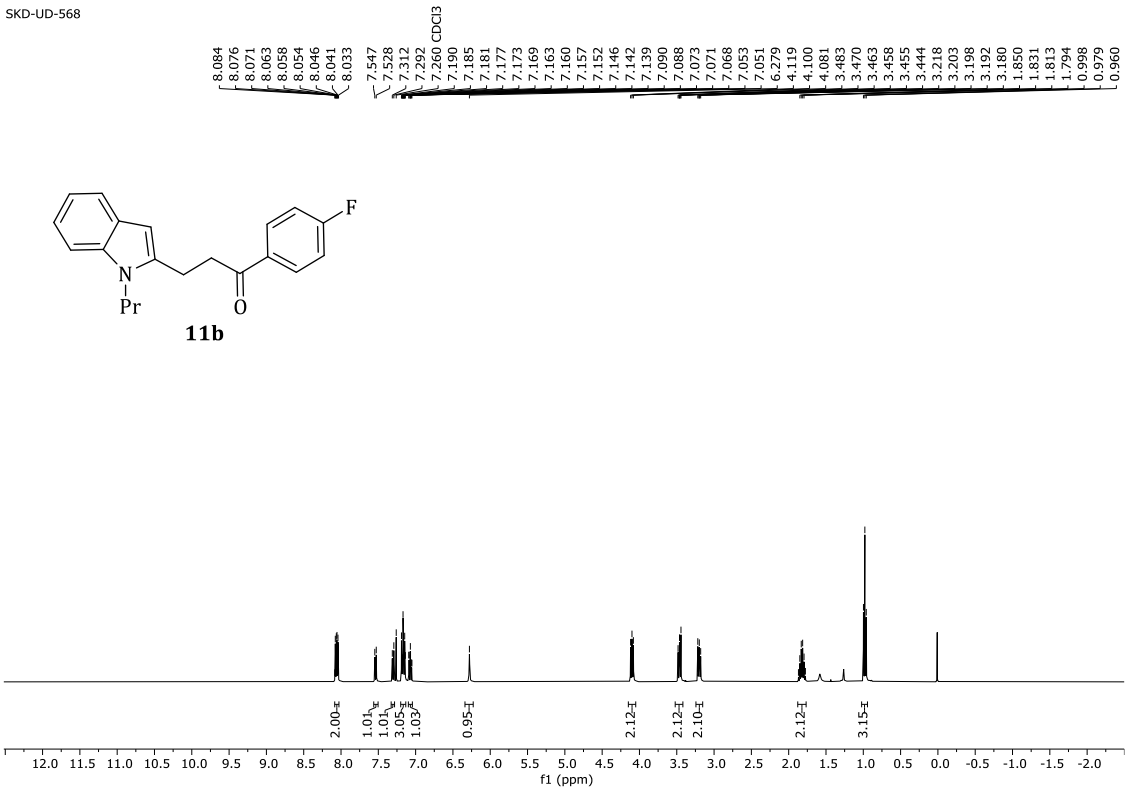
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra of **8g**.



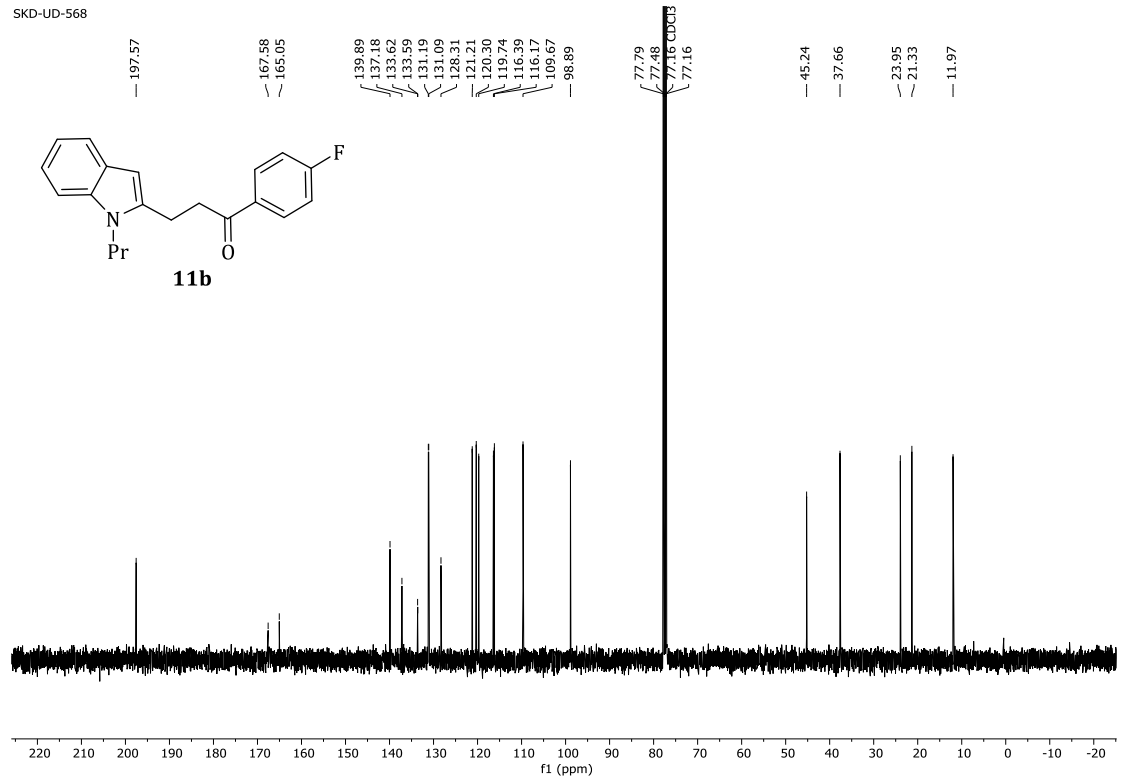
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **11a**.



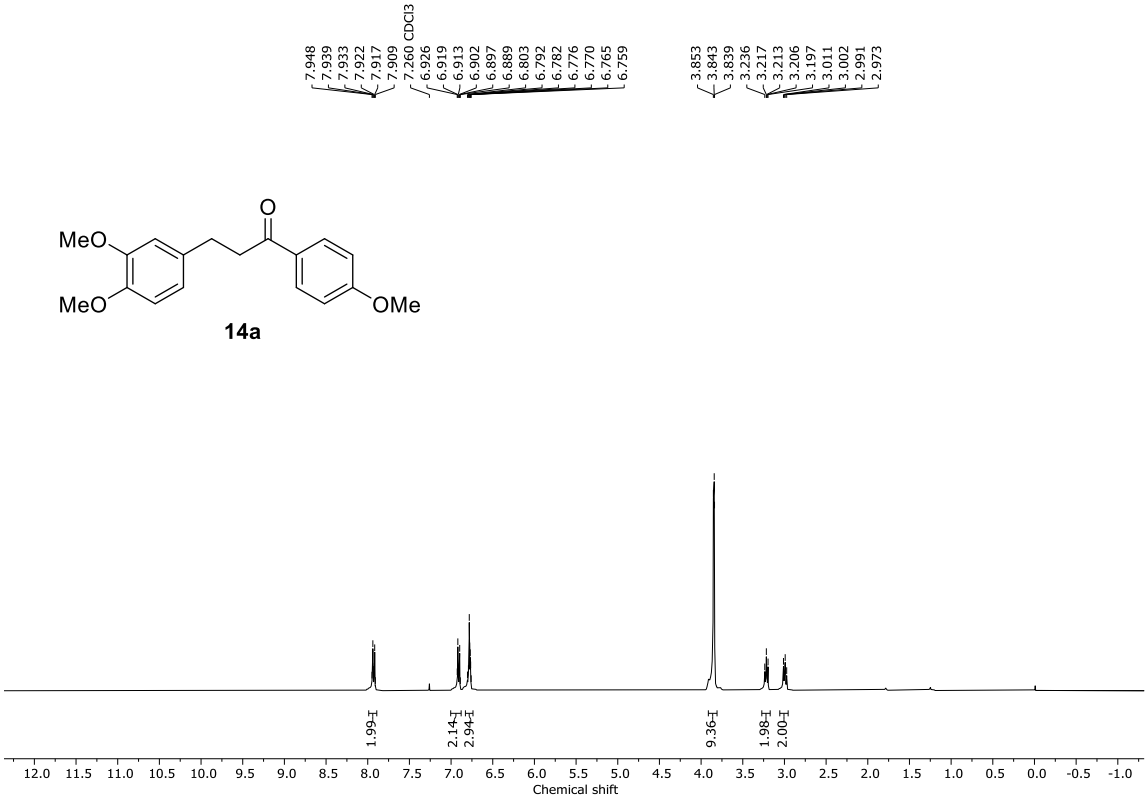
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **11a**.



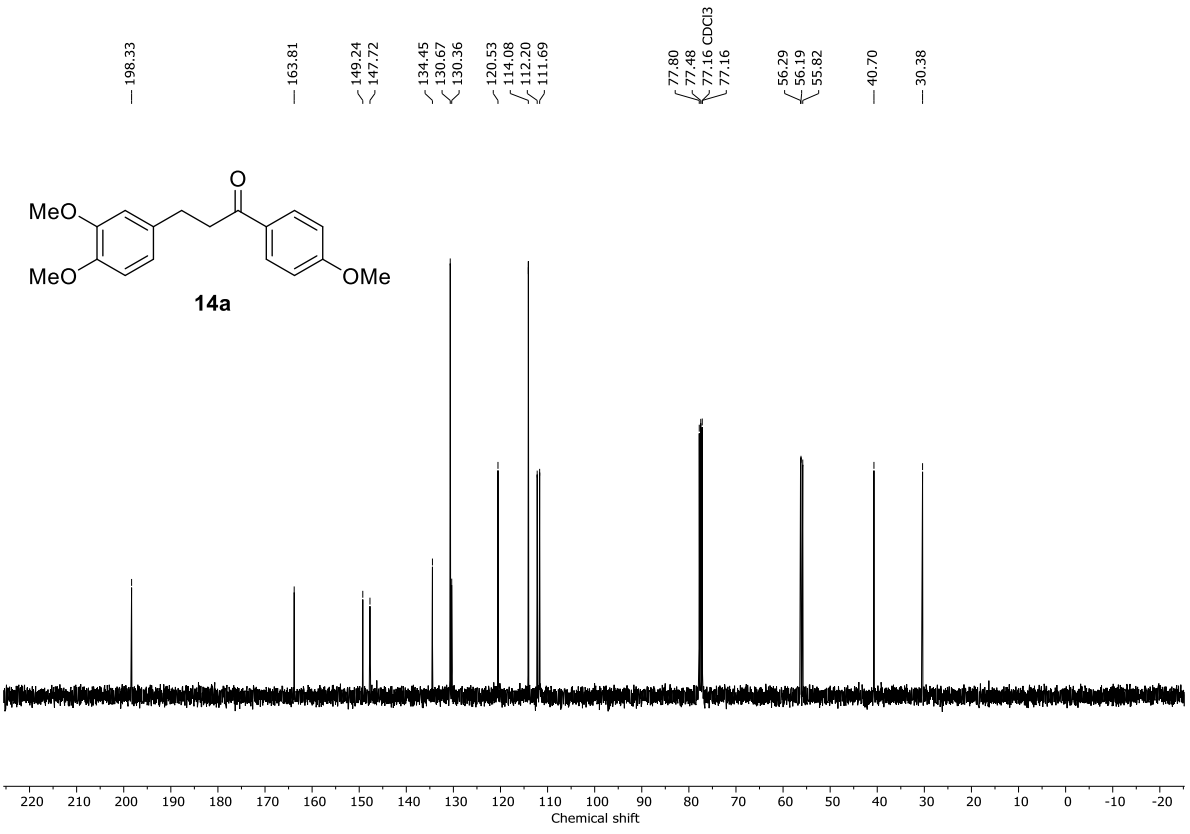
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **11b**.



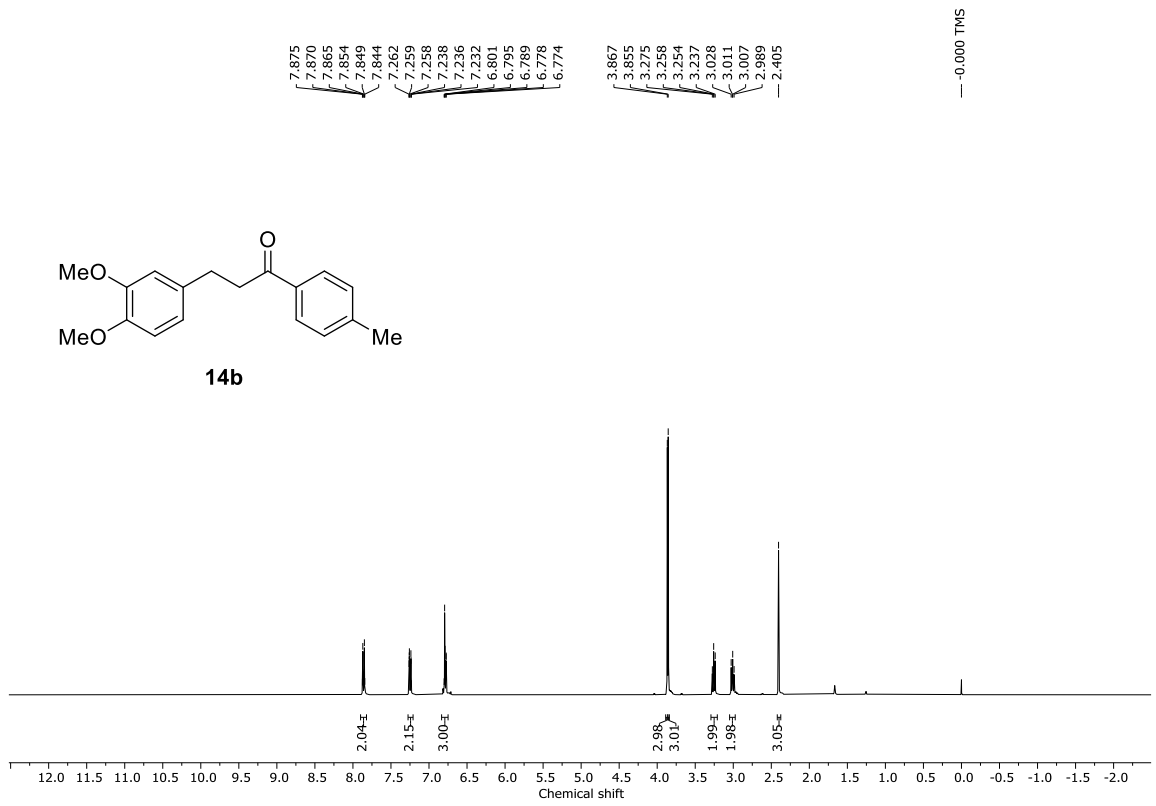
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **11b**.



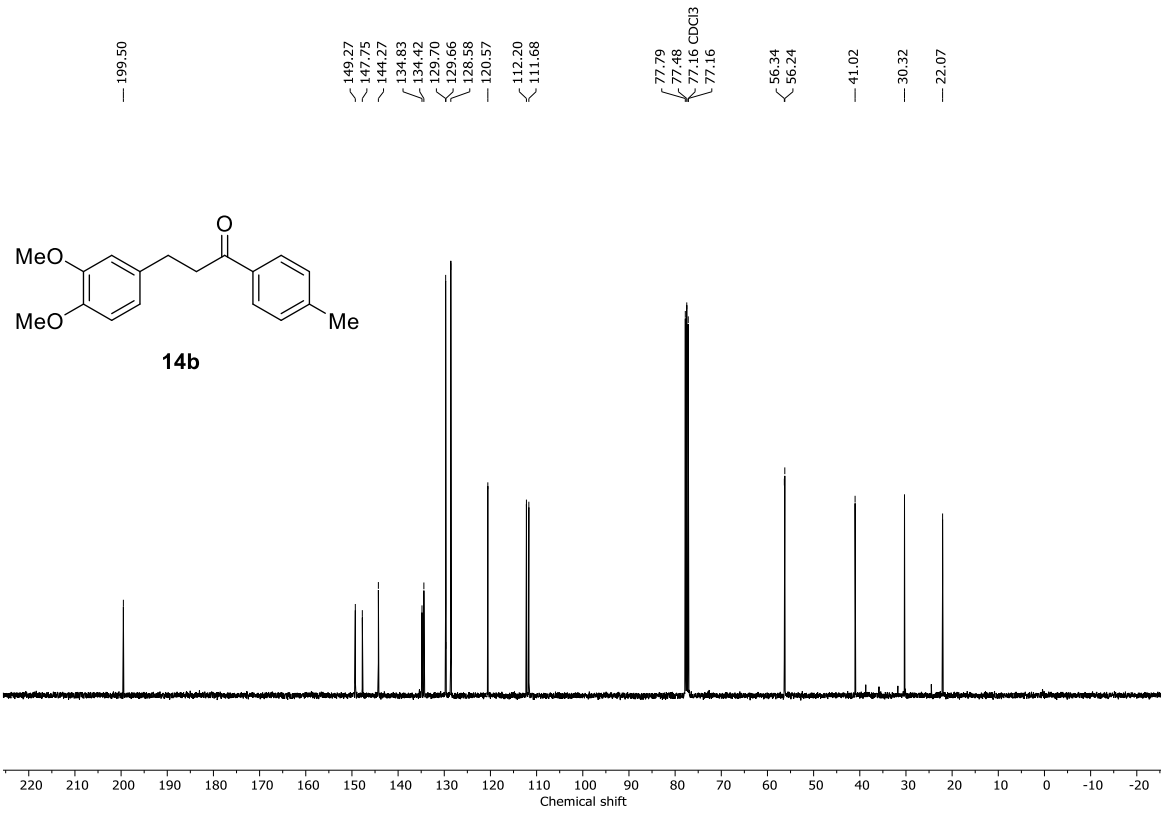
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **14a**.



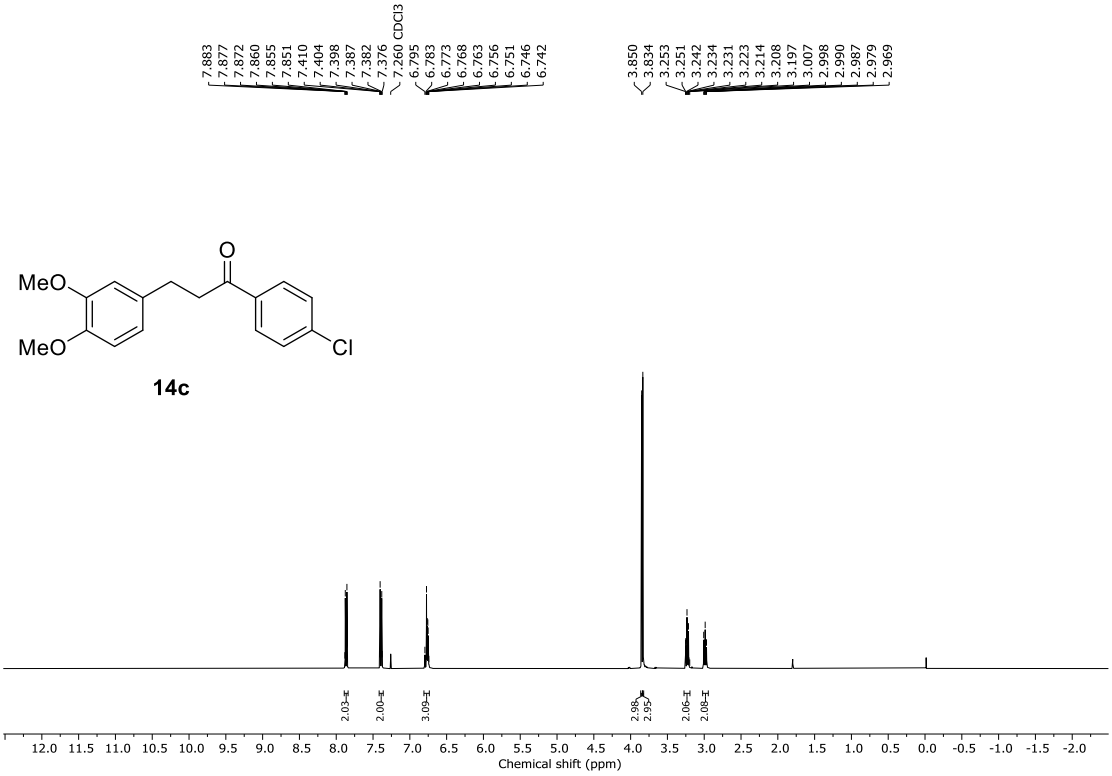
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **14a**.



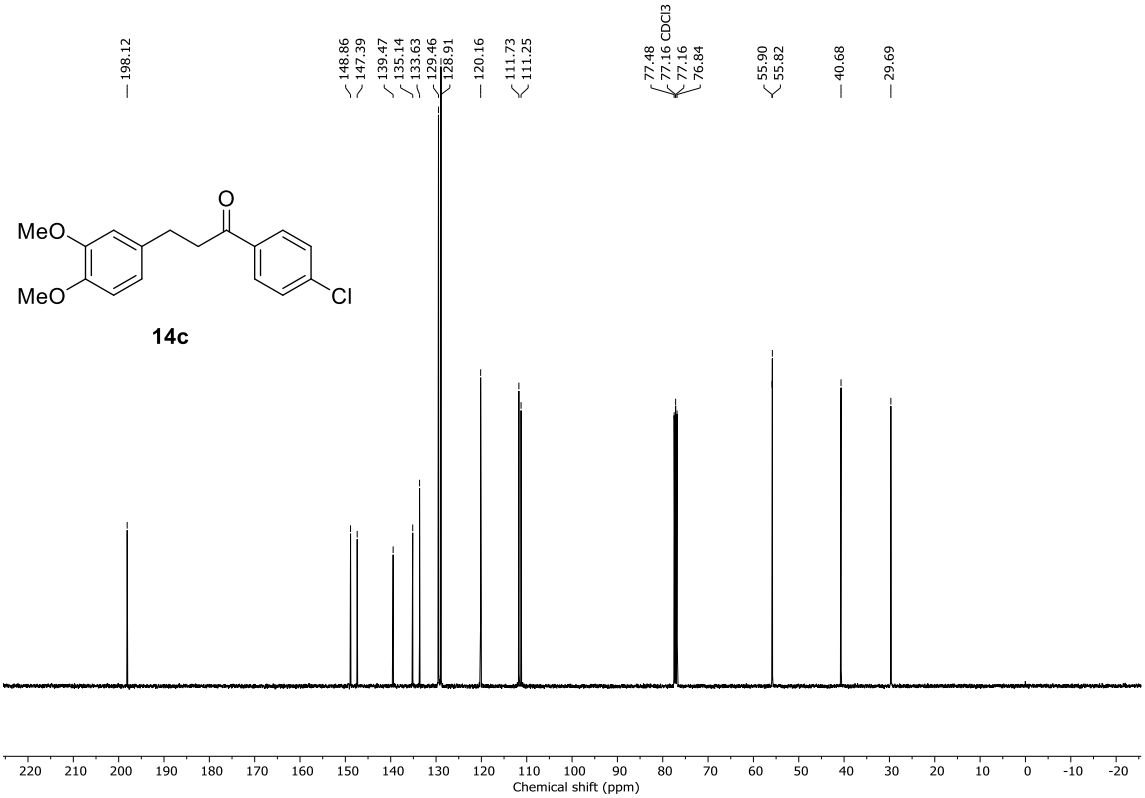
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **14b**.



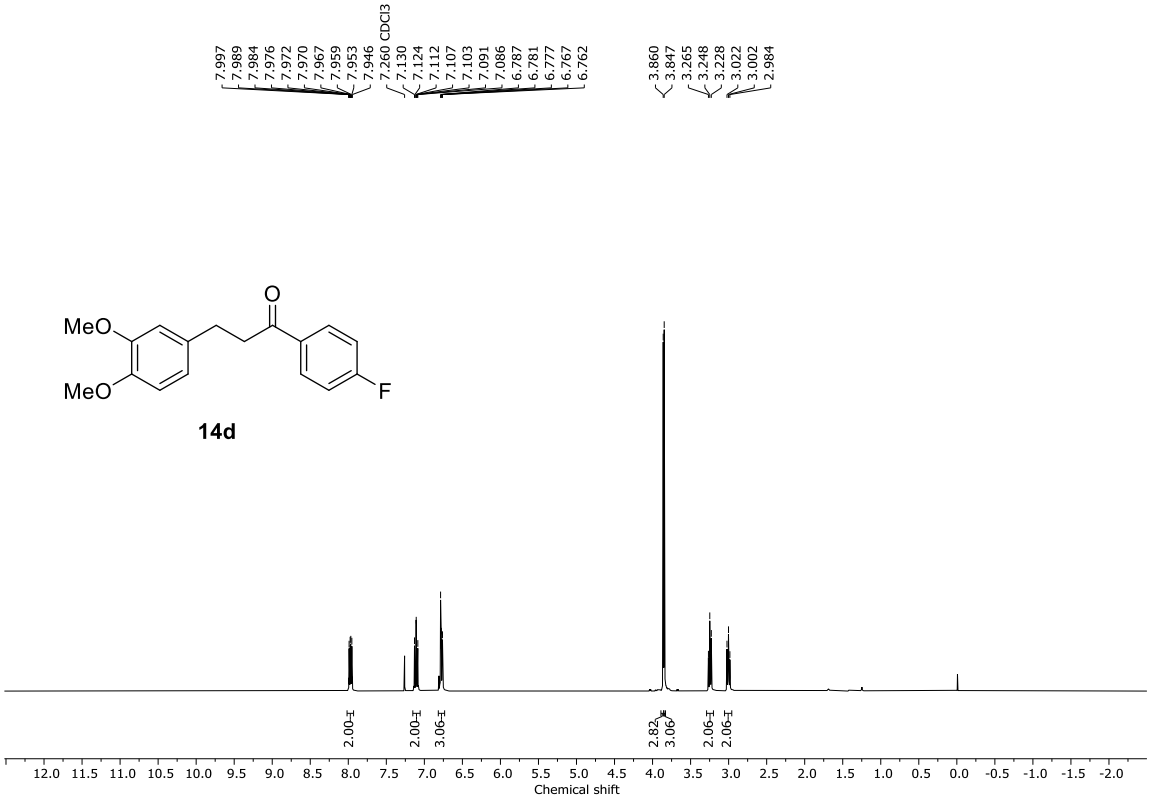
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **14b**.



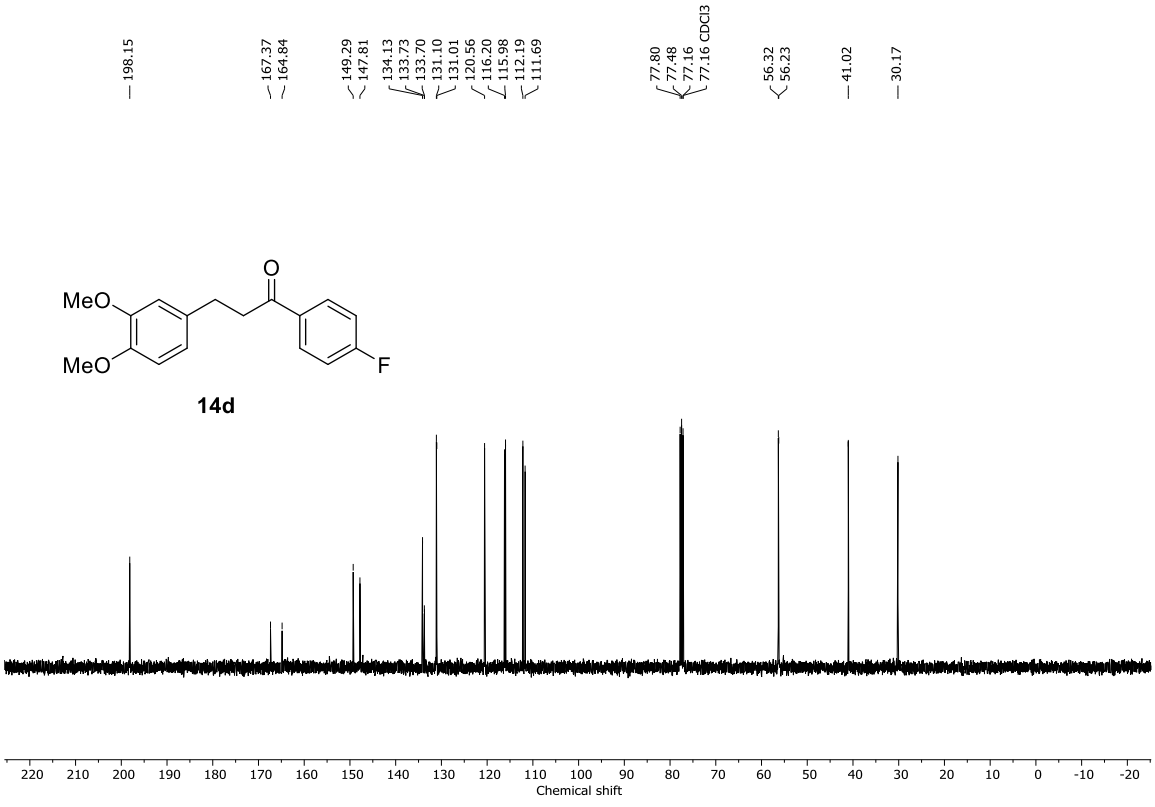
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **14c**.



^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **14c**

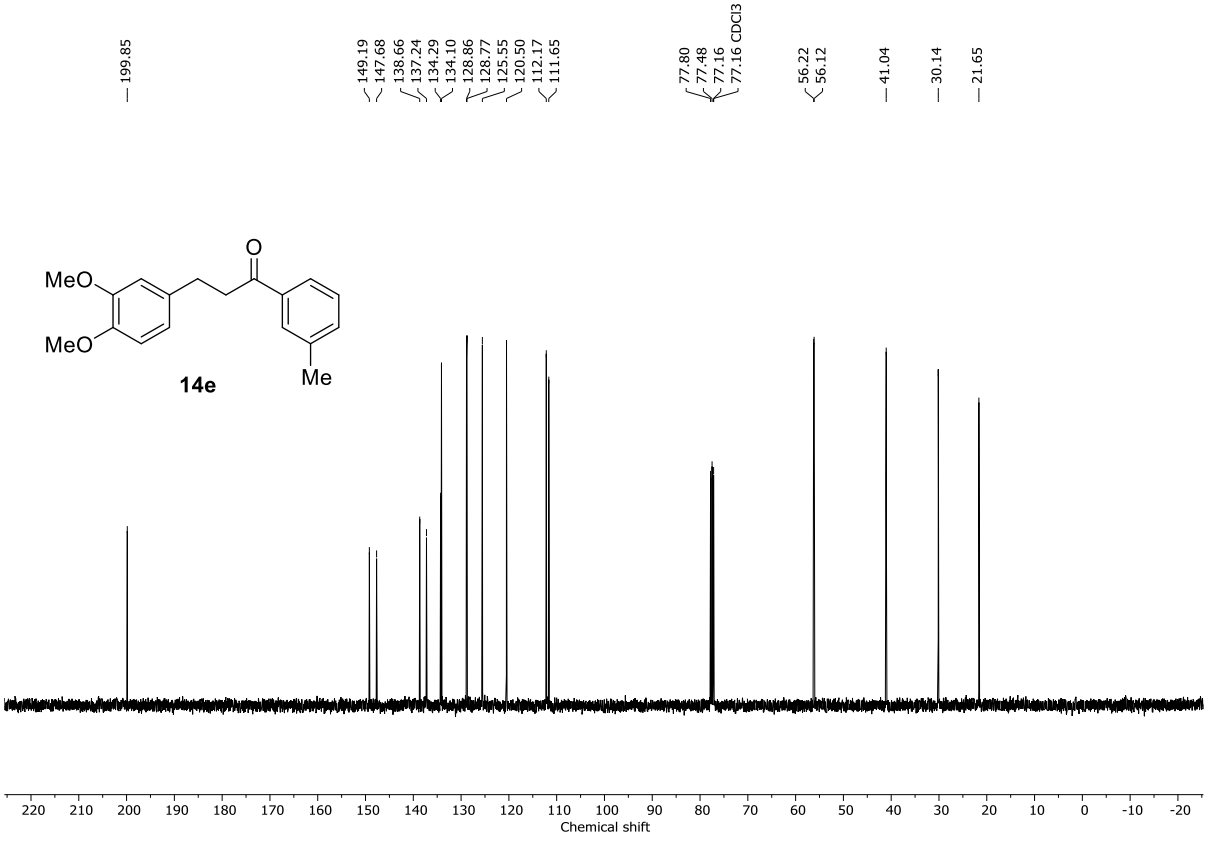


^{1}H NMR (400 MHz, $CDCl_3$) spectra of **14d**.

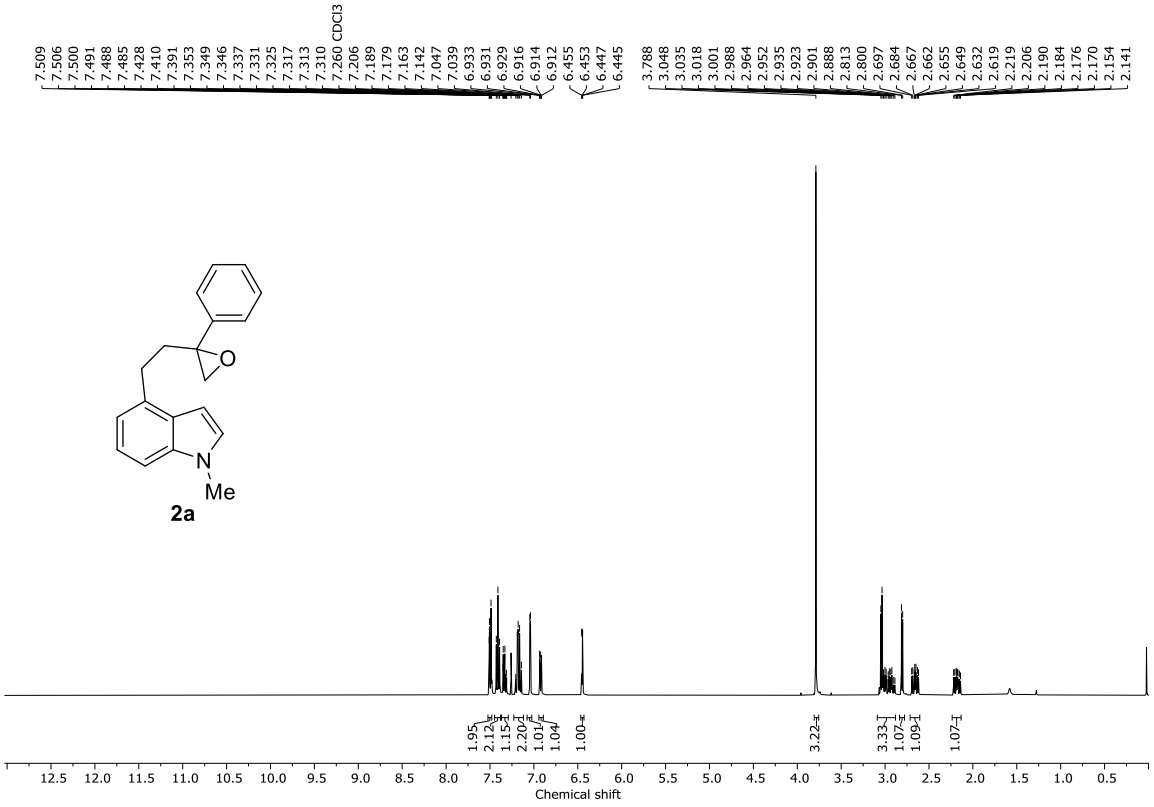


^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **14d**.

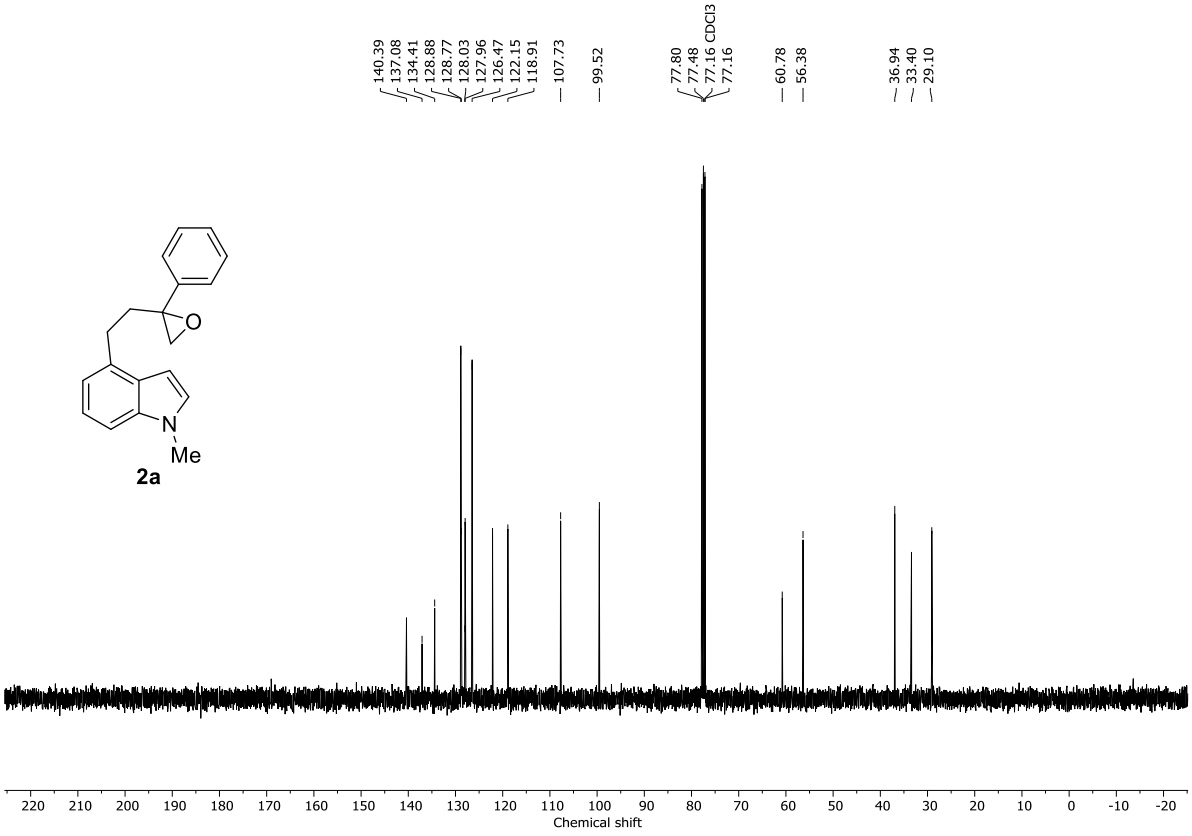
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **14e**.



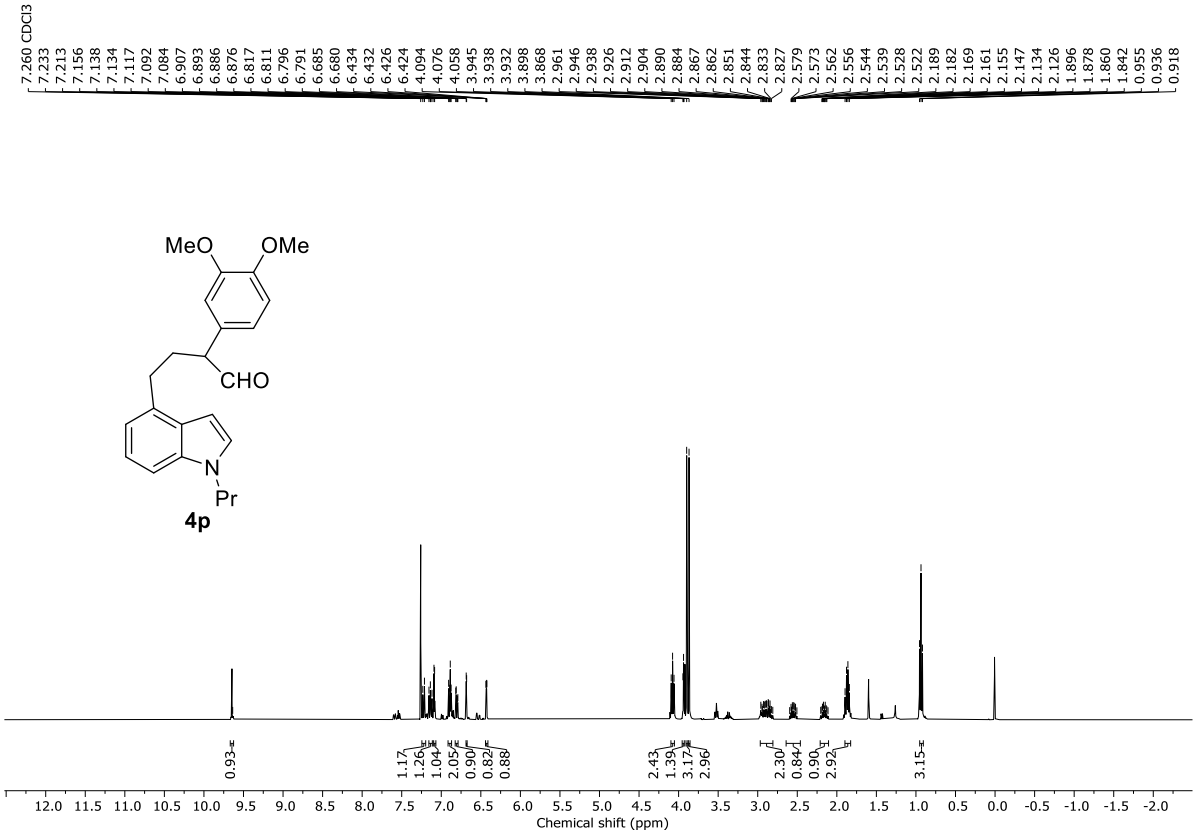
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **14e**.



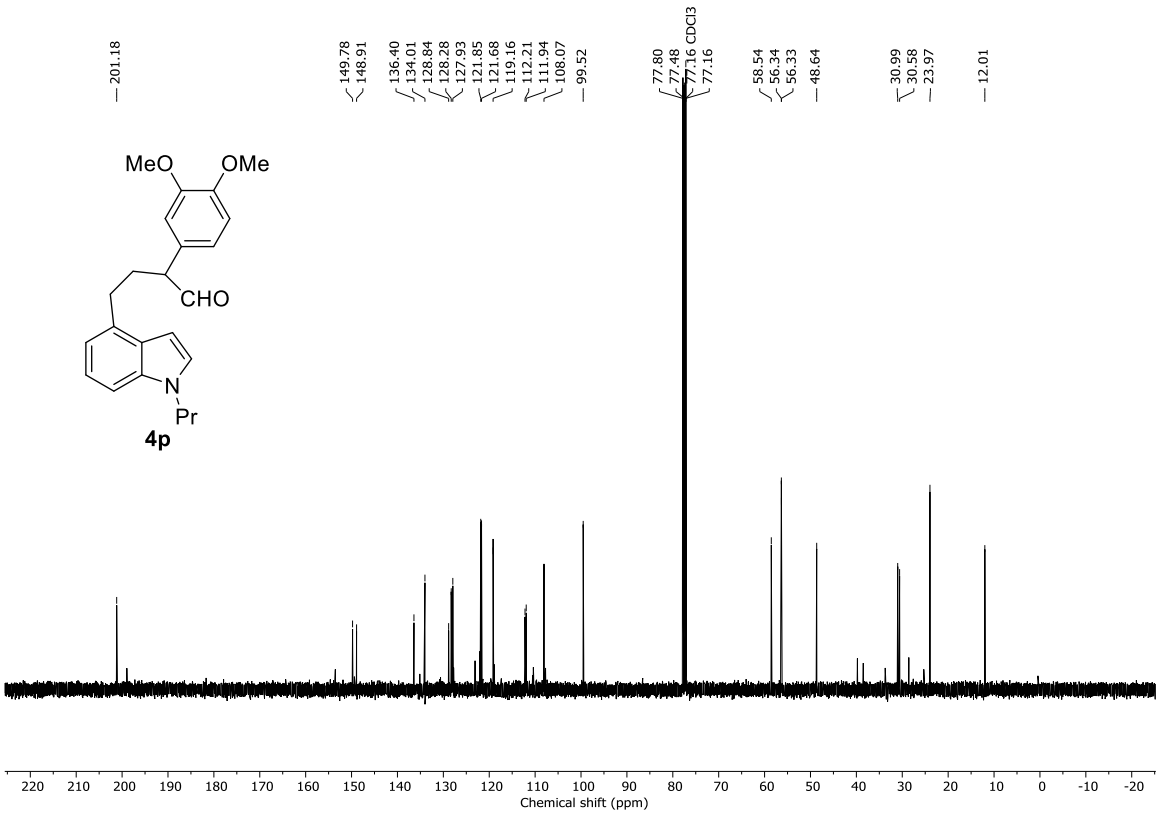
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **2a**.



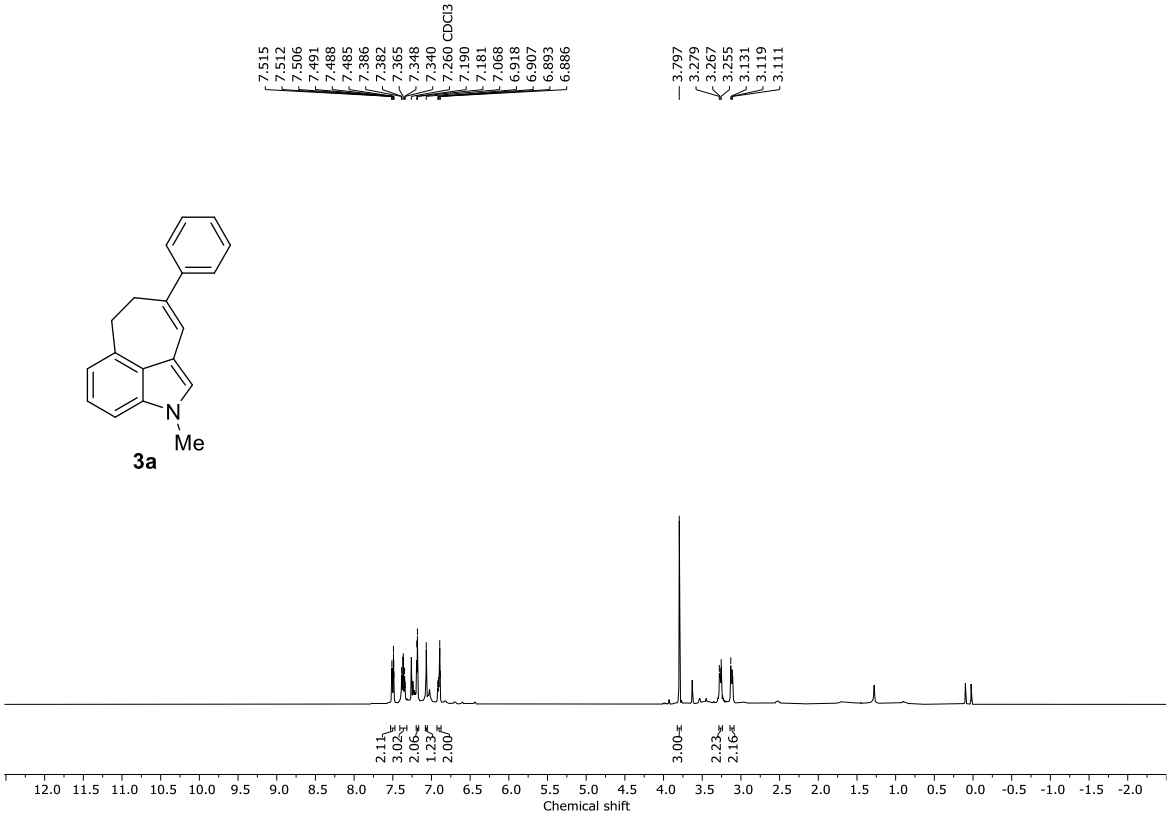
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **2a**.



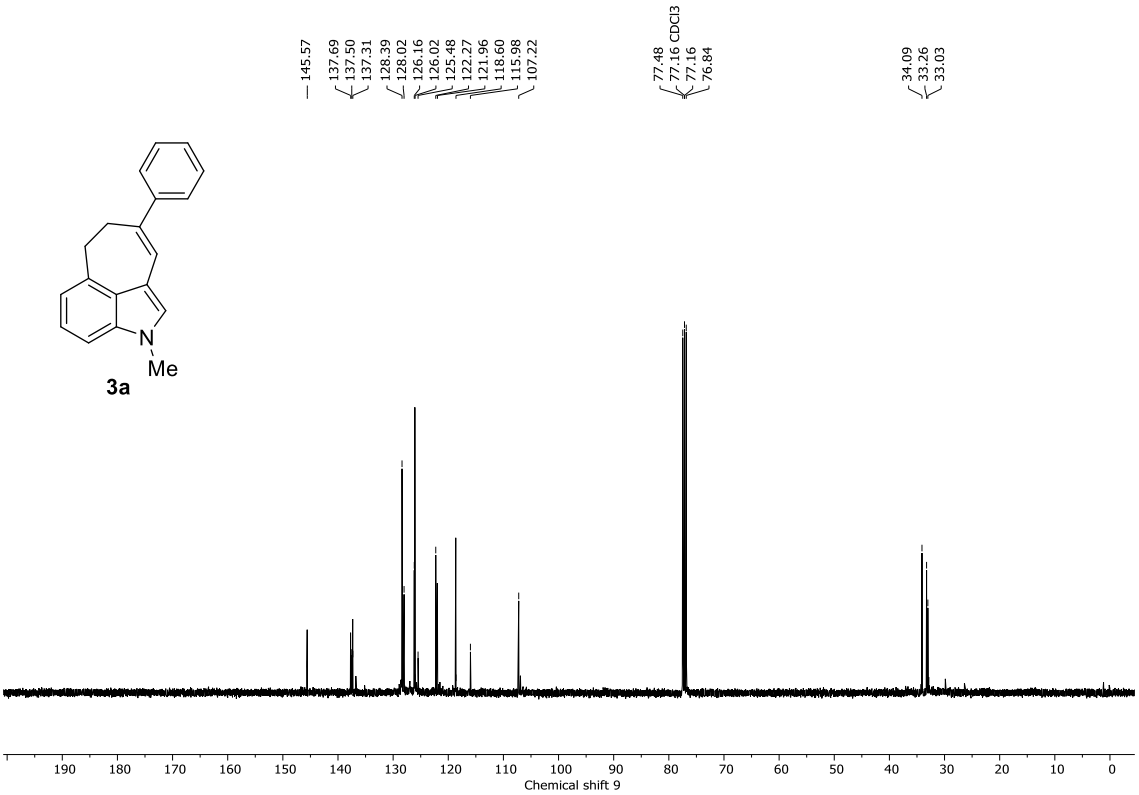
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **4p**.



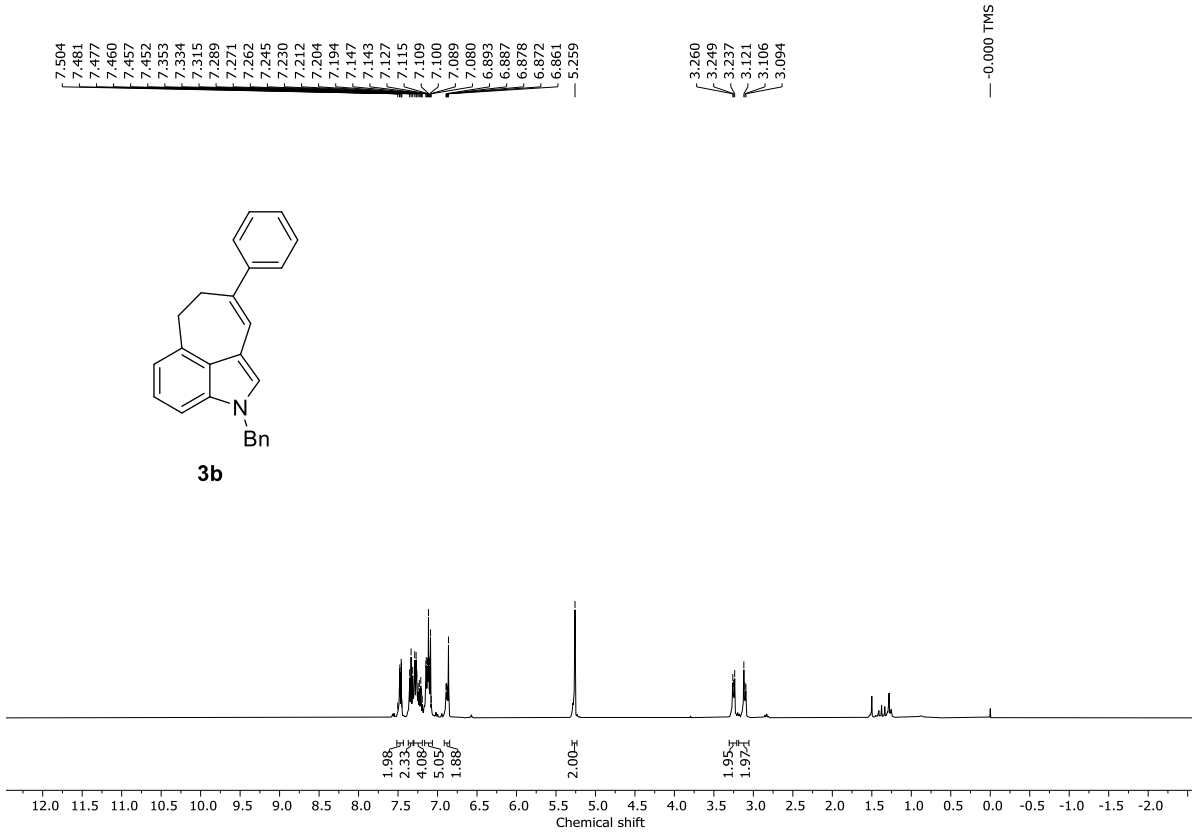
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **4p**.



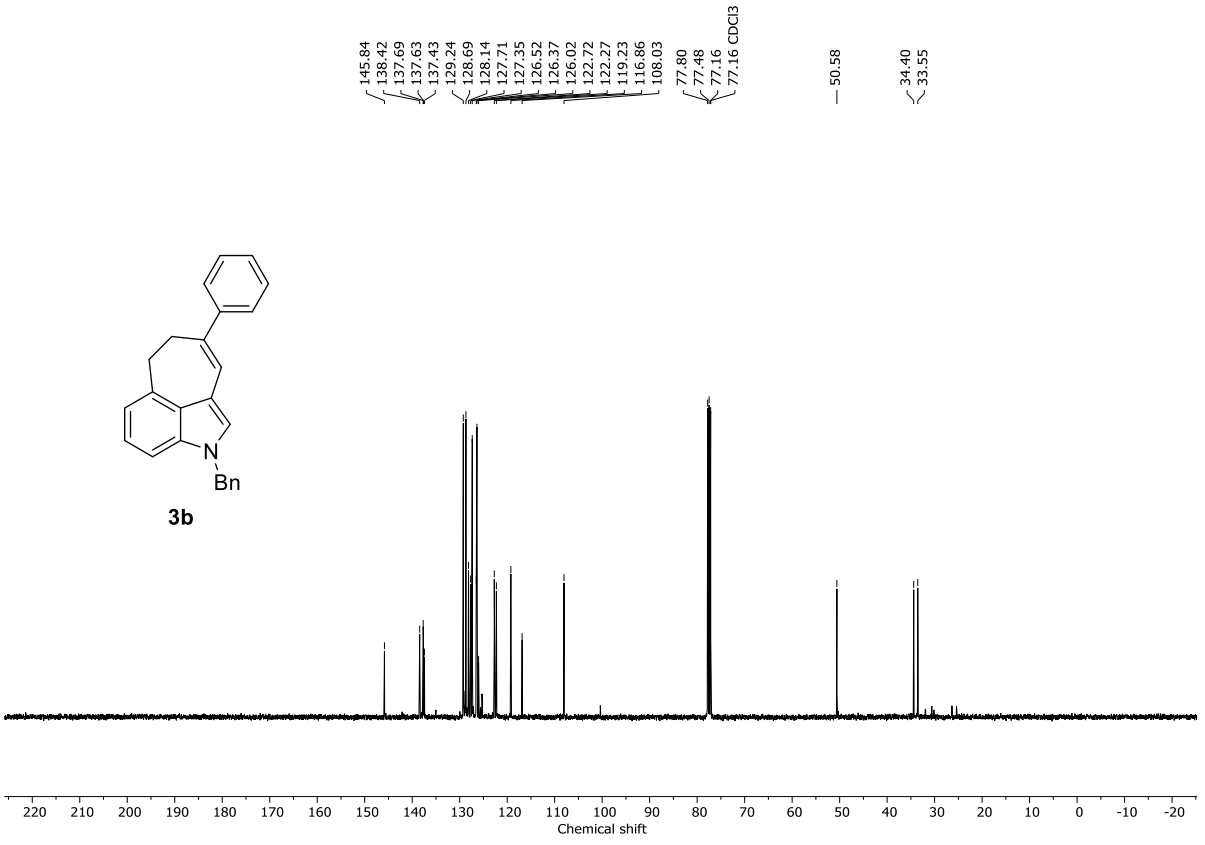
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3a**.



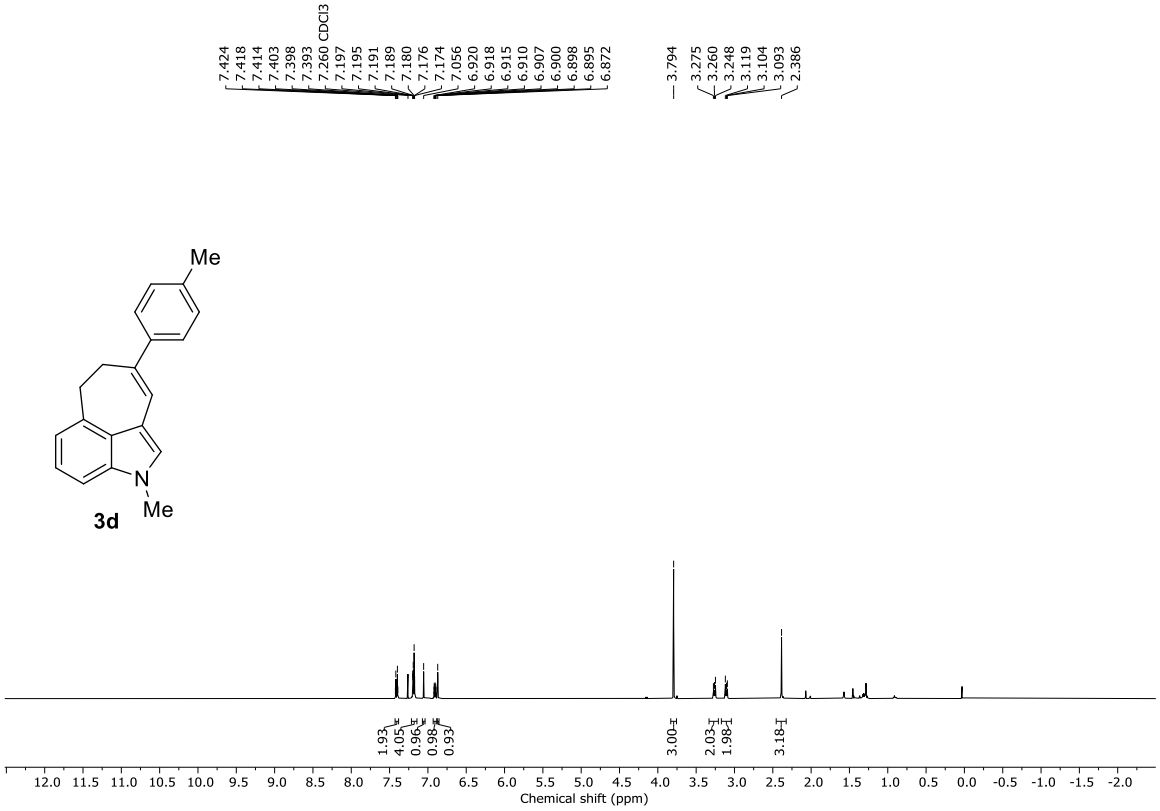
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3a**.



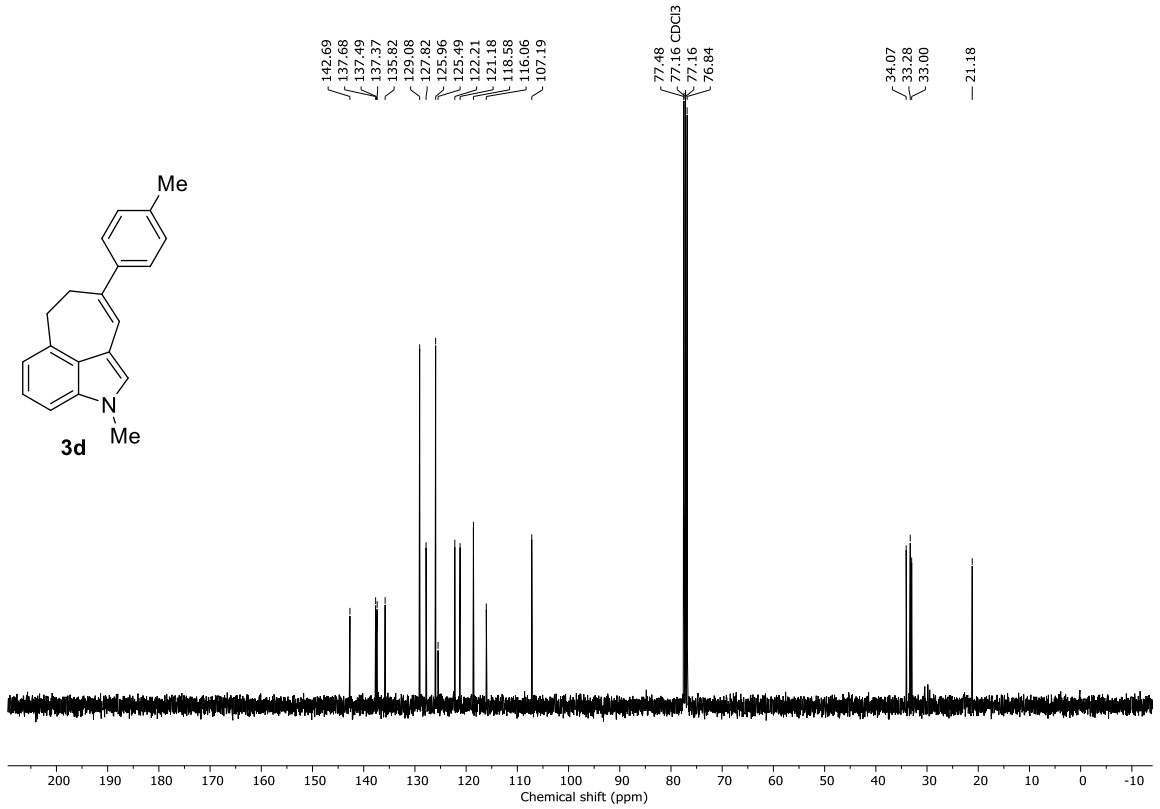
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3b**.



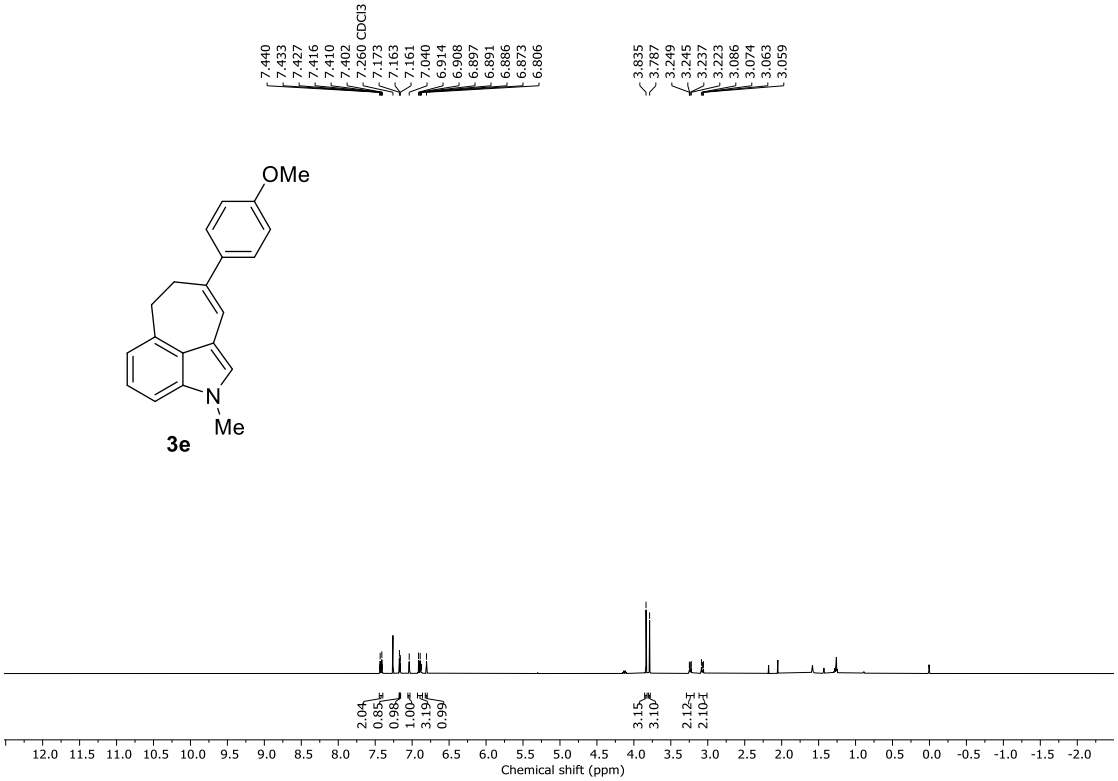
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of **3b**.



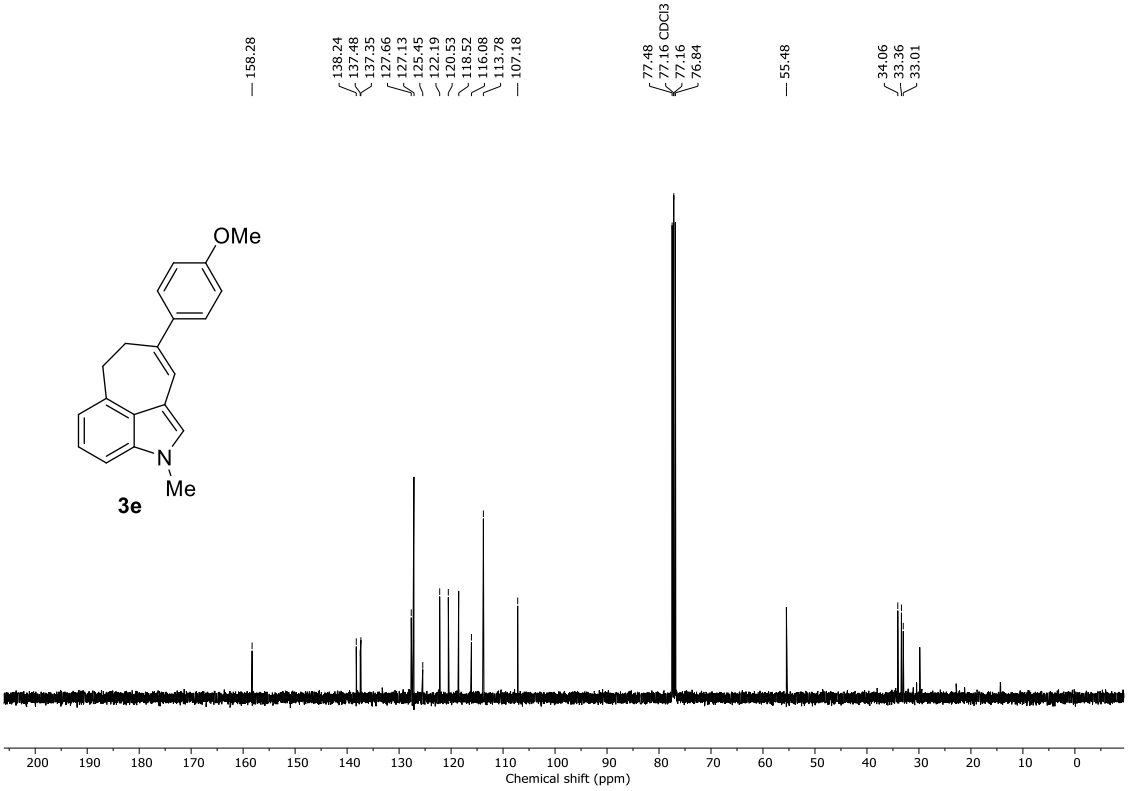
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3d**.



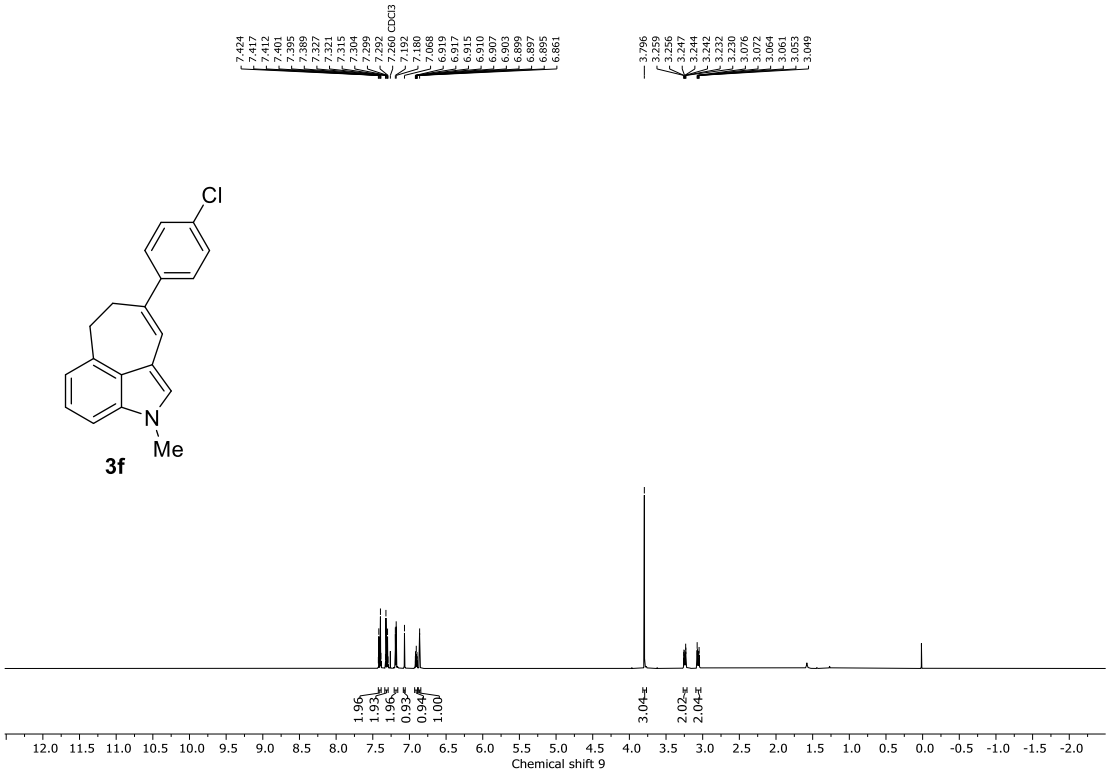
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3d**.



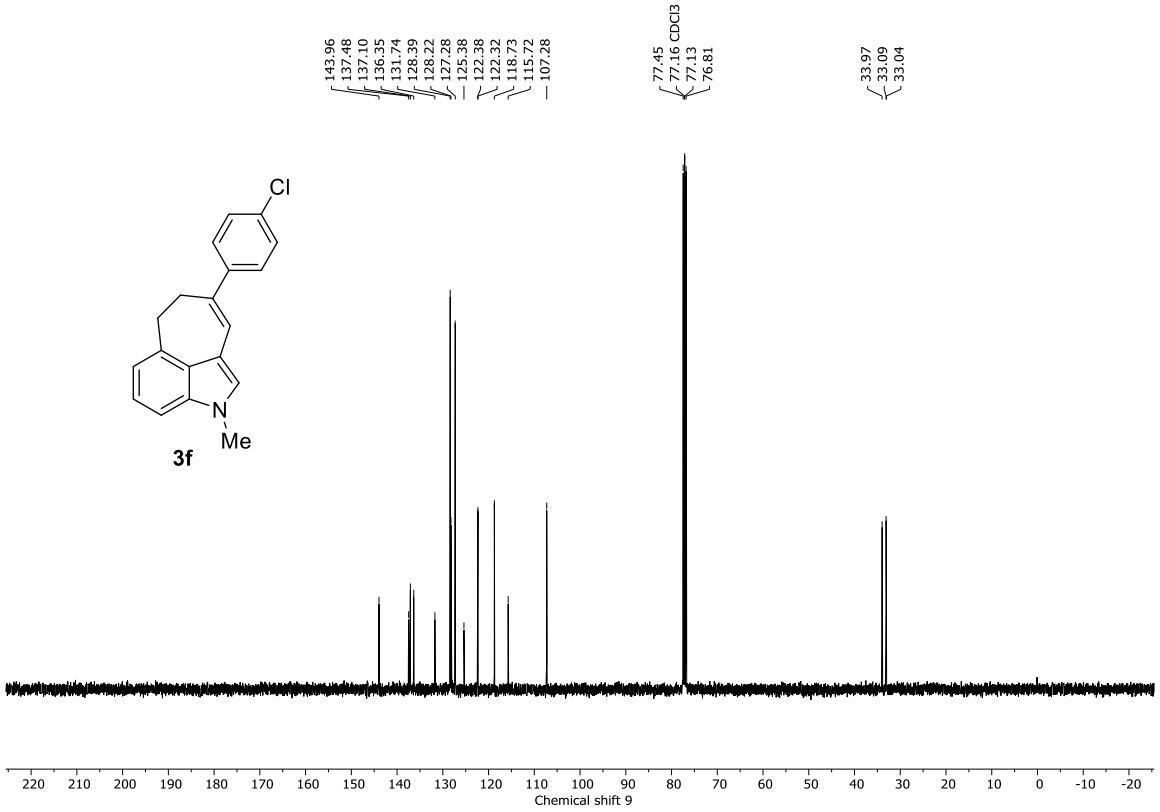
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3e**.



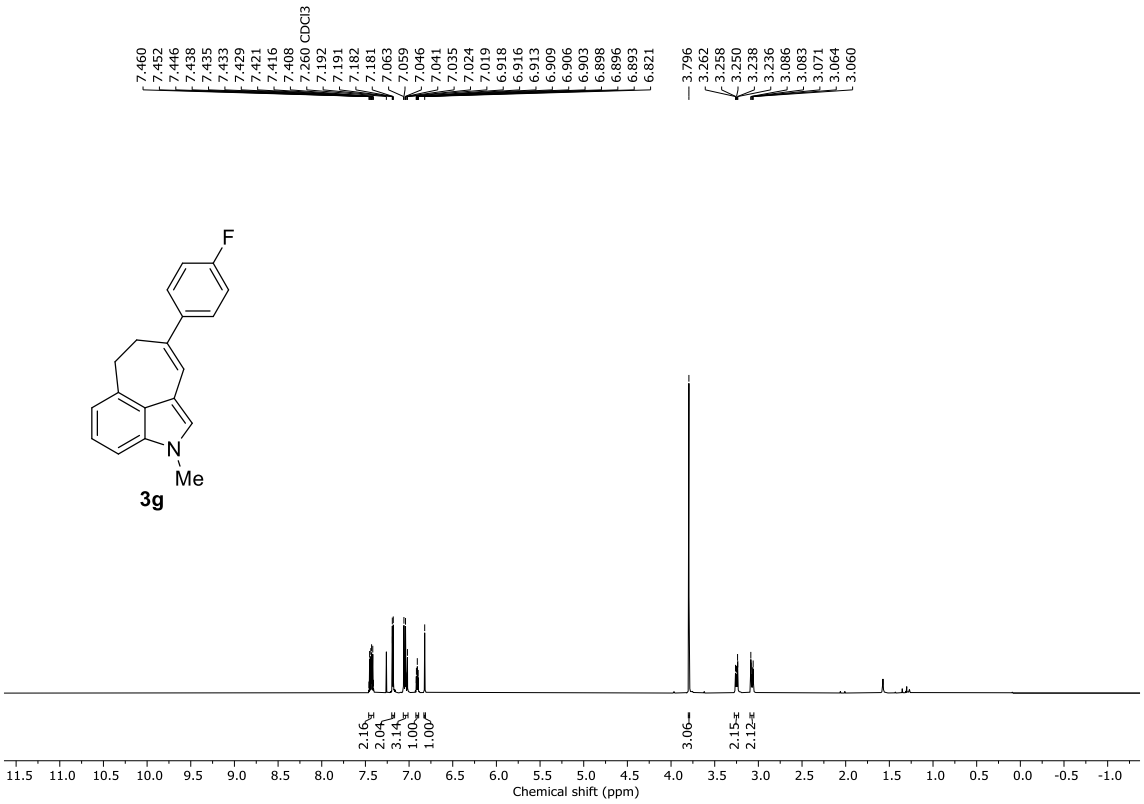
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3e**.



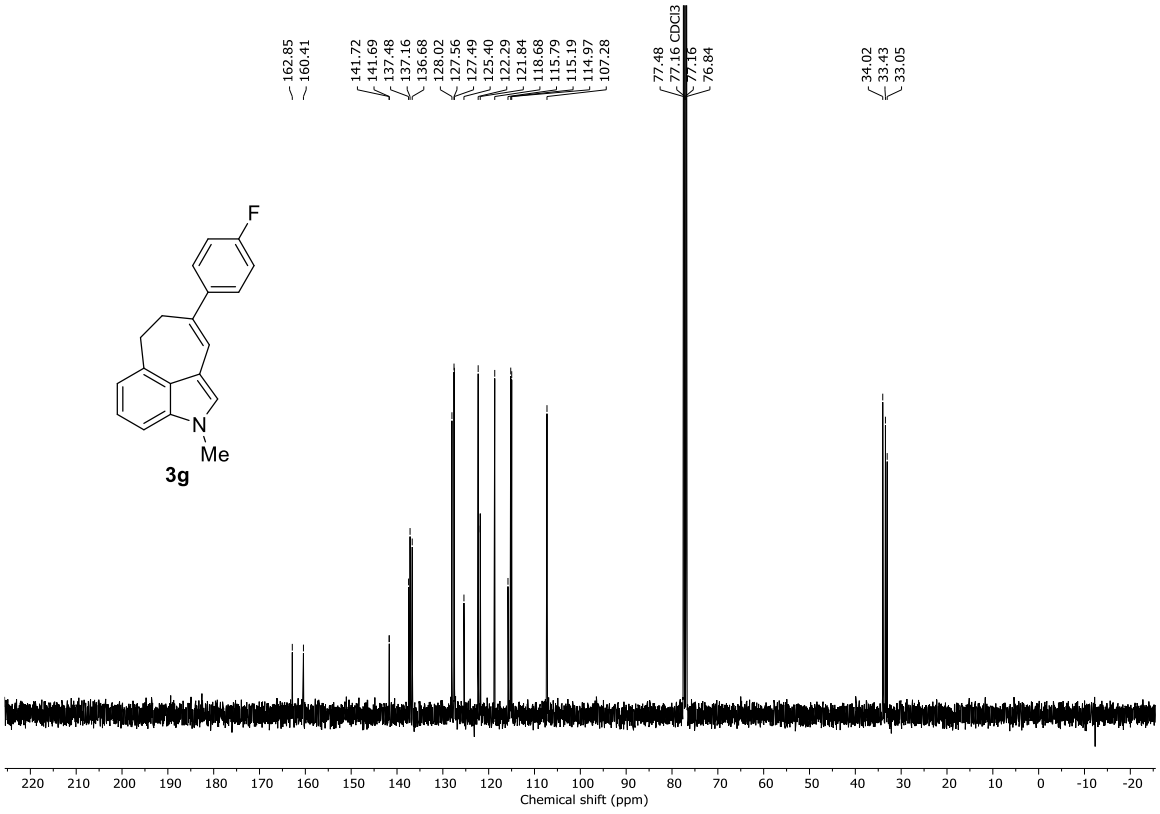
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3f**.



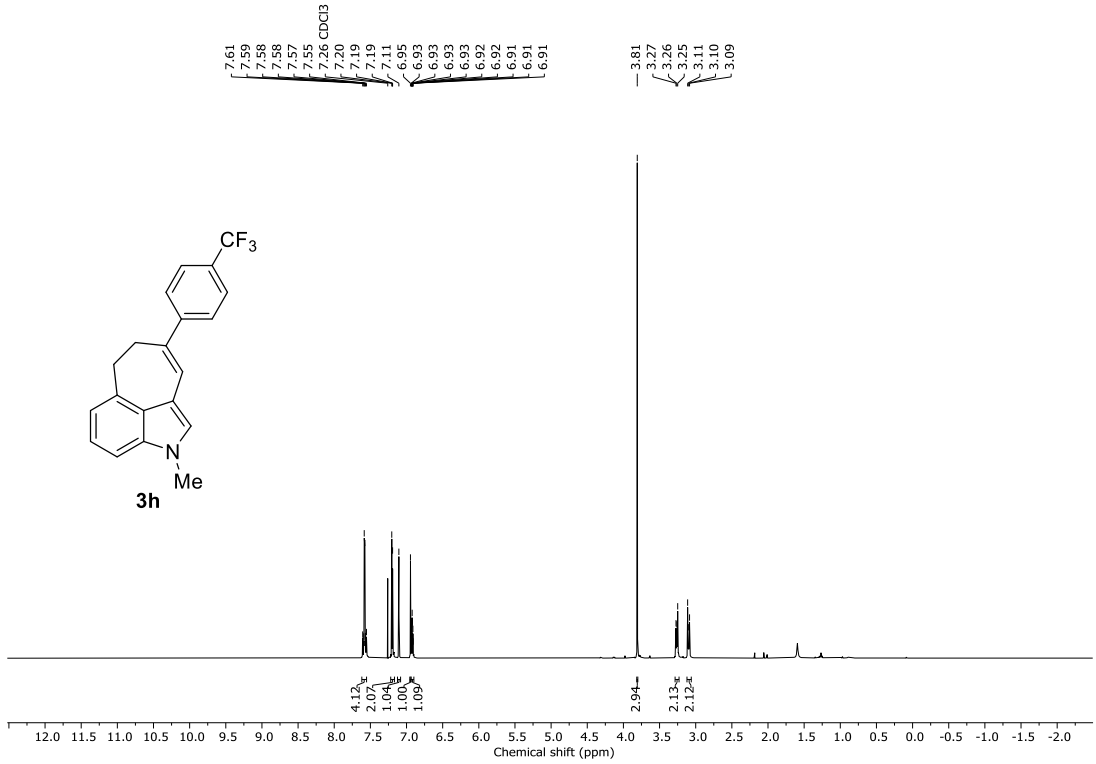
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3f**.



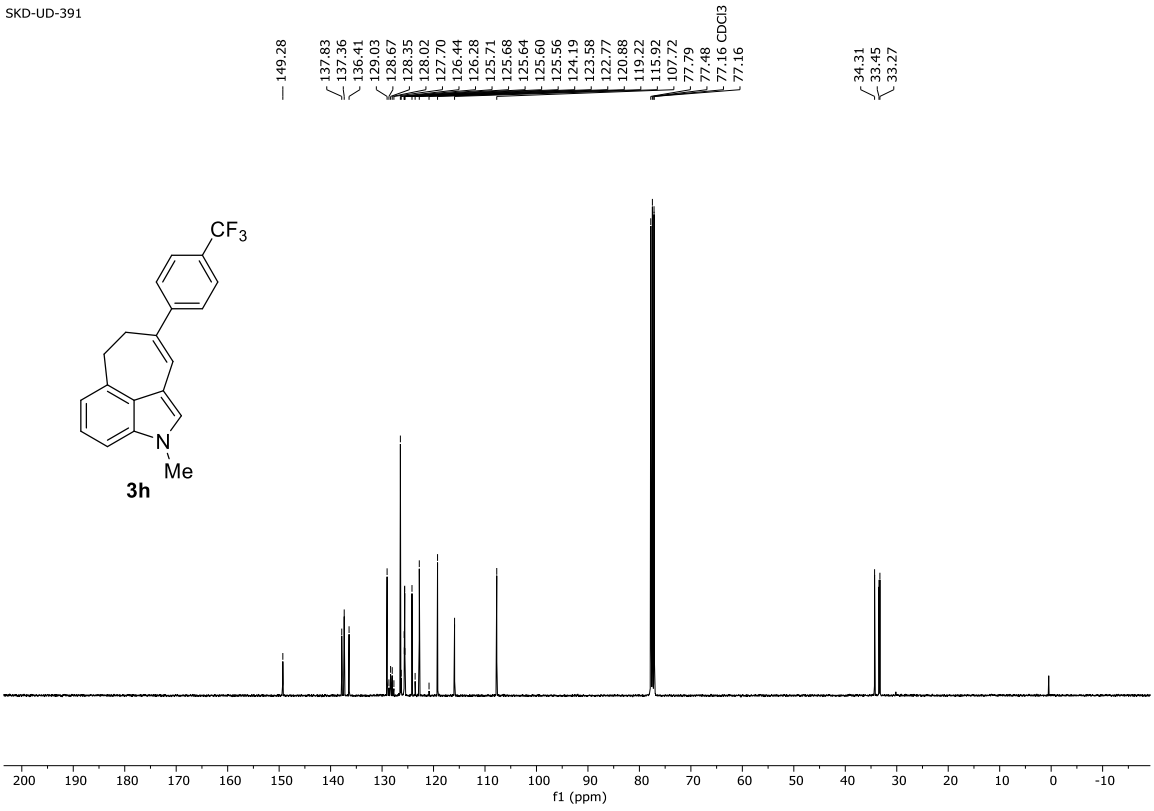
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3g**.



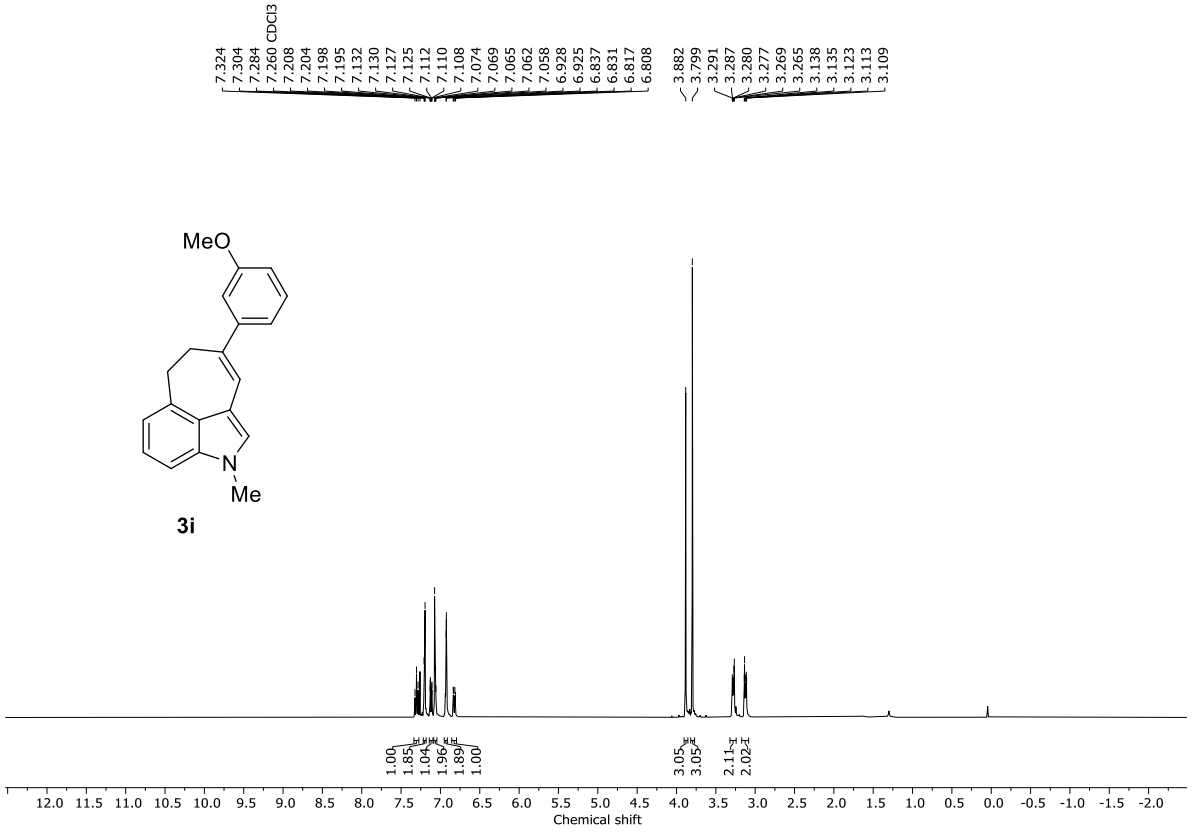
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra of **3g**.



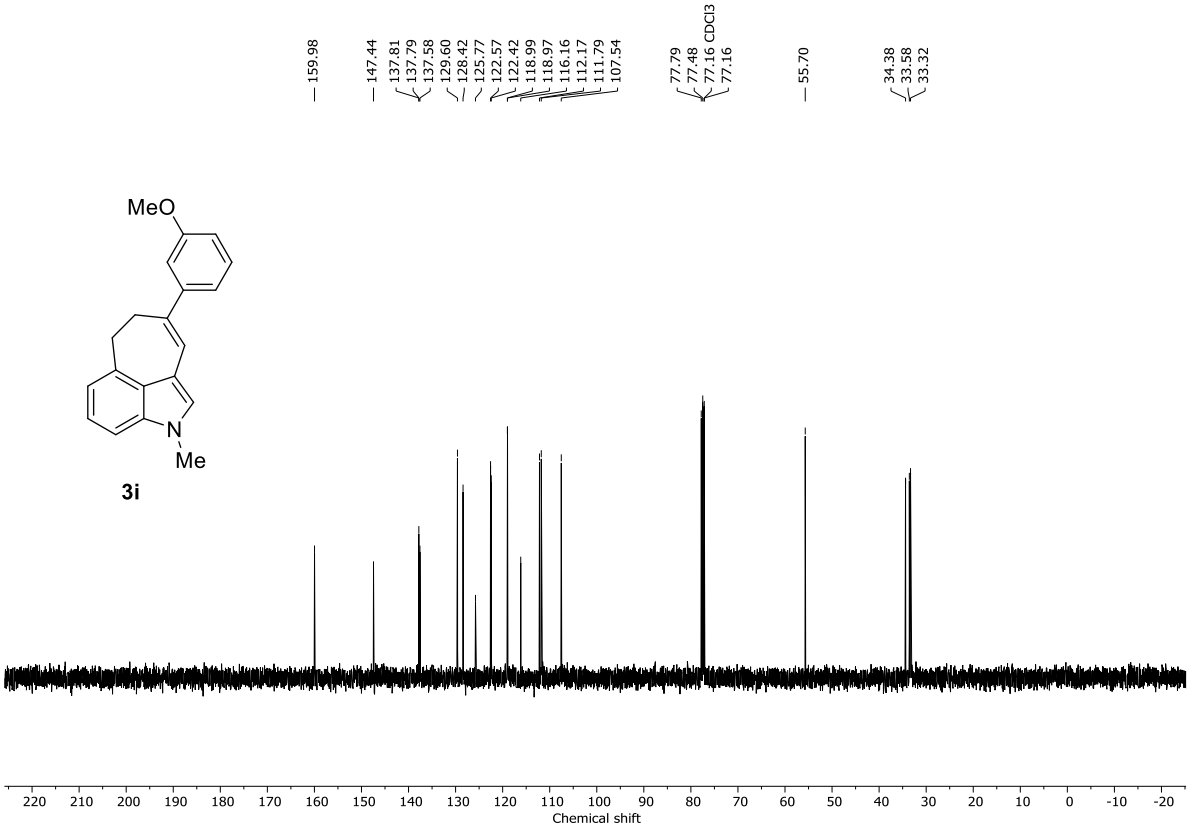
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3h**.



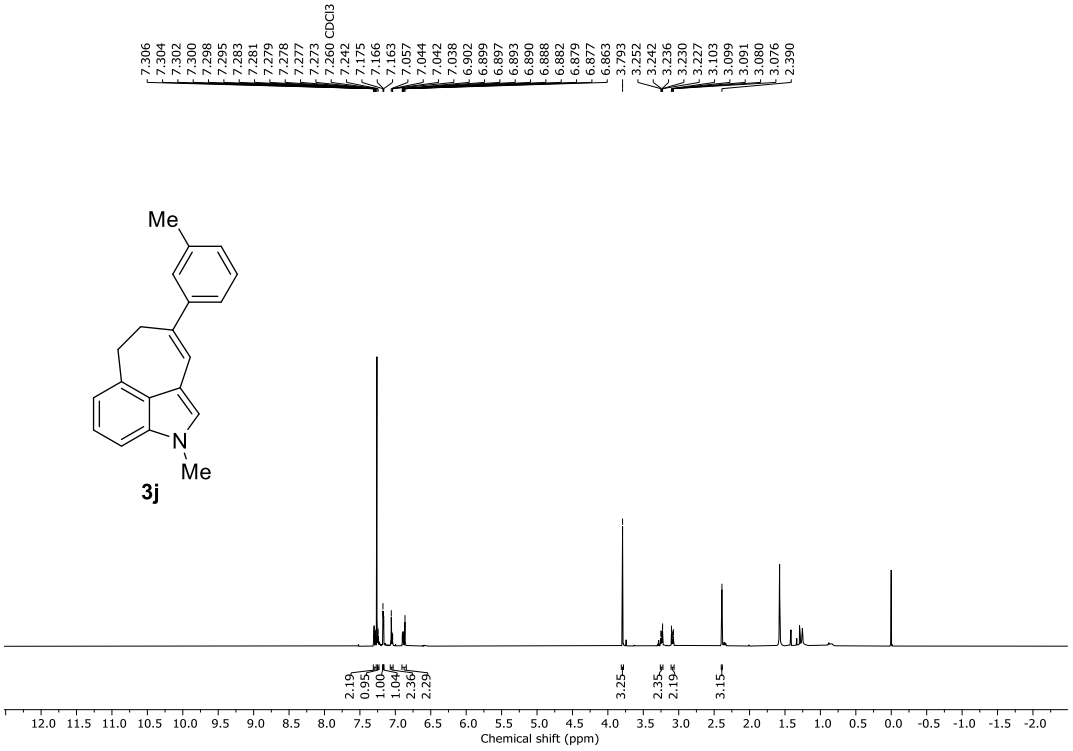
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3h**.



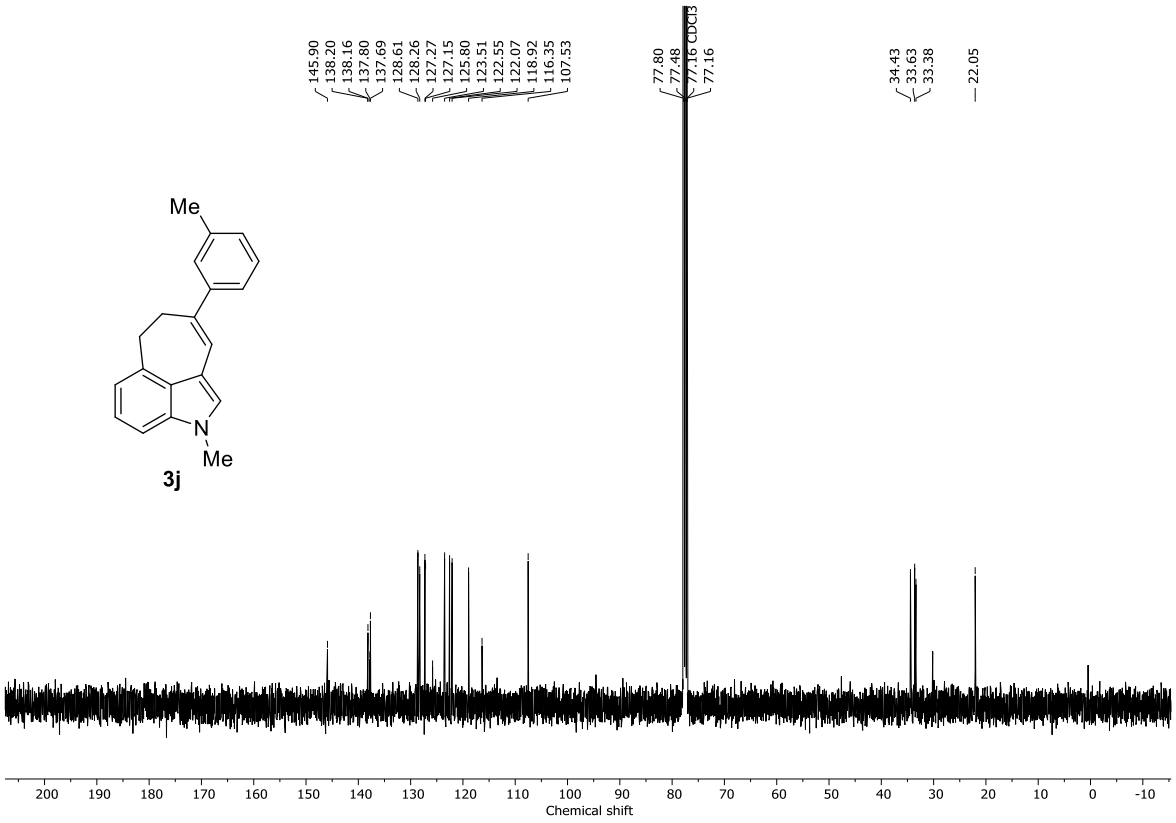
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3i**.



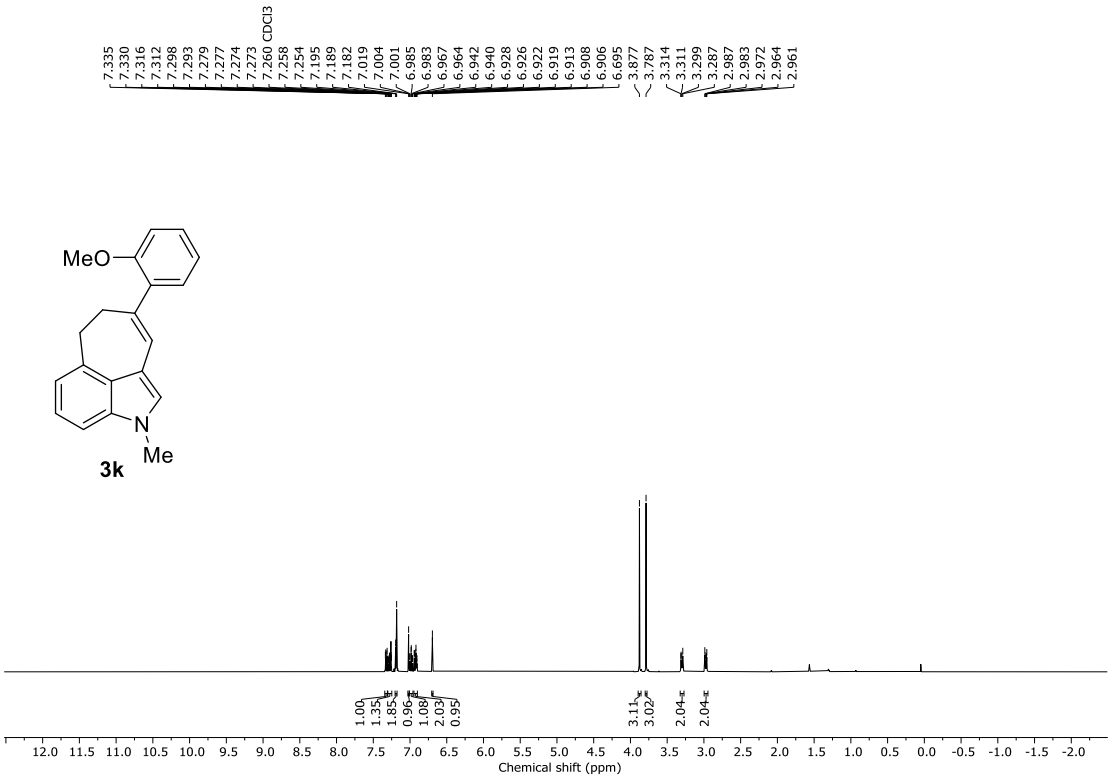
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of **3i**.



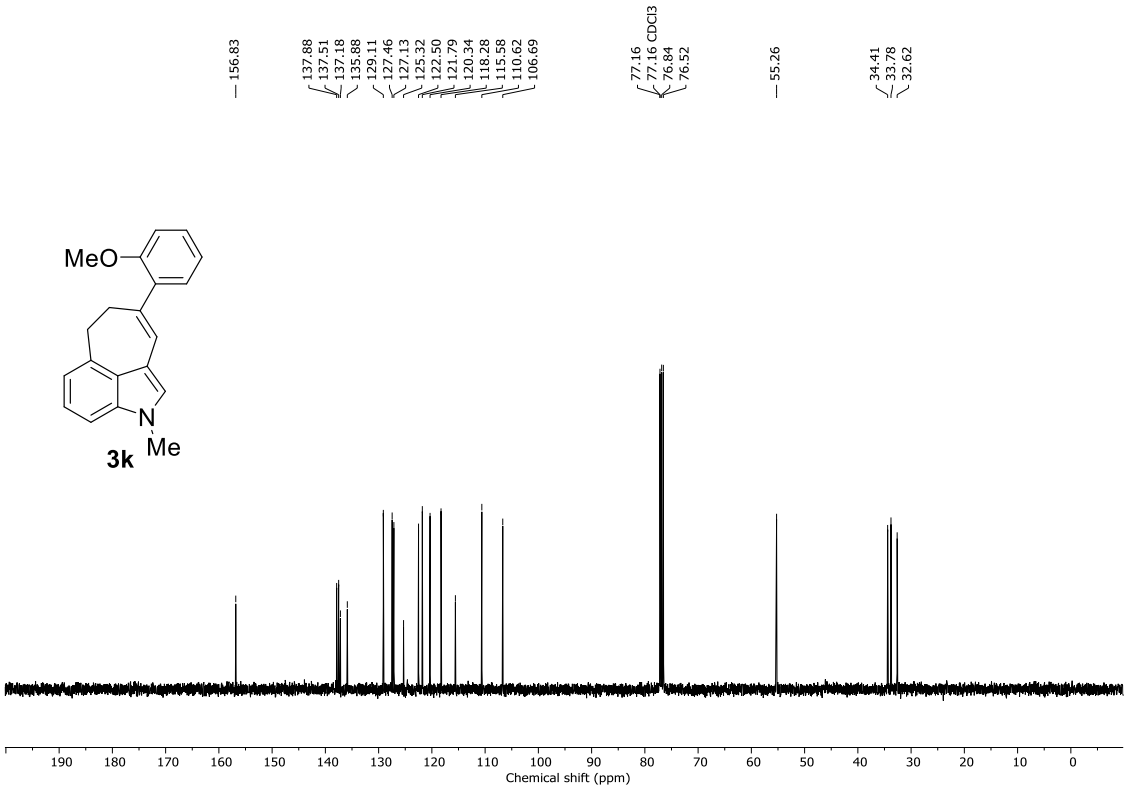
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3j**.



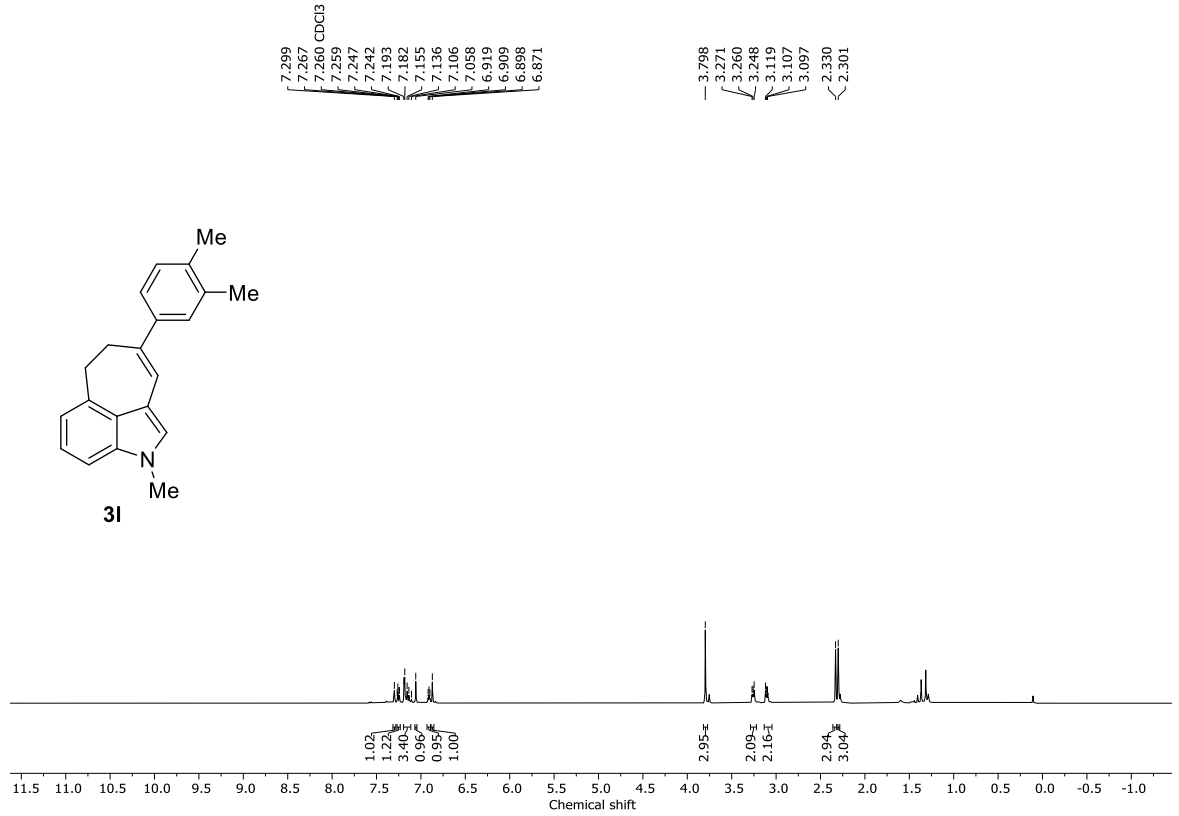
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3j**.



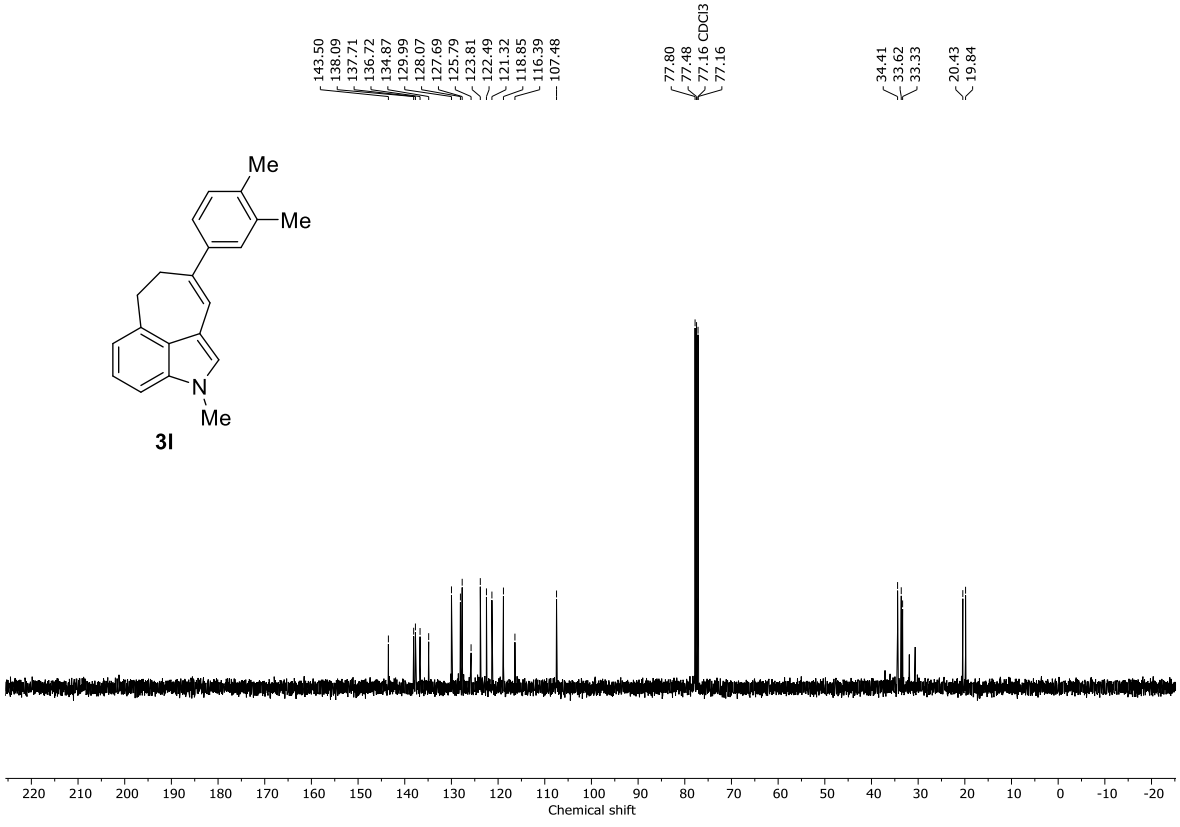
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3k**.



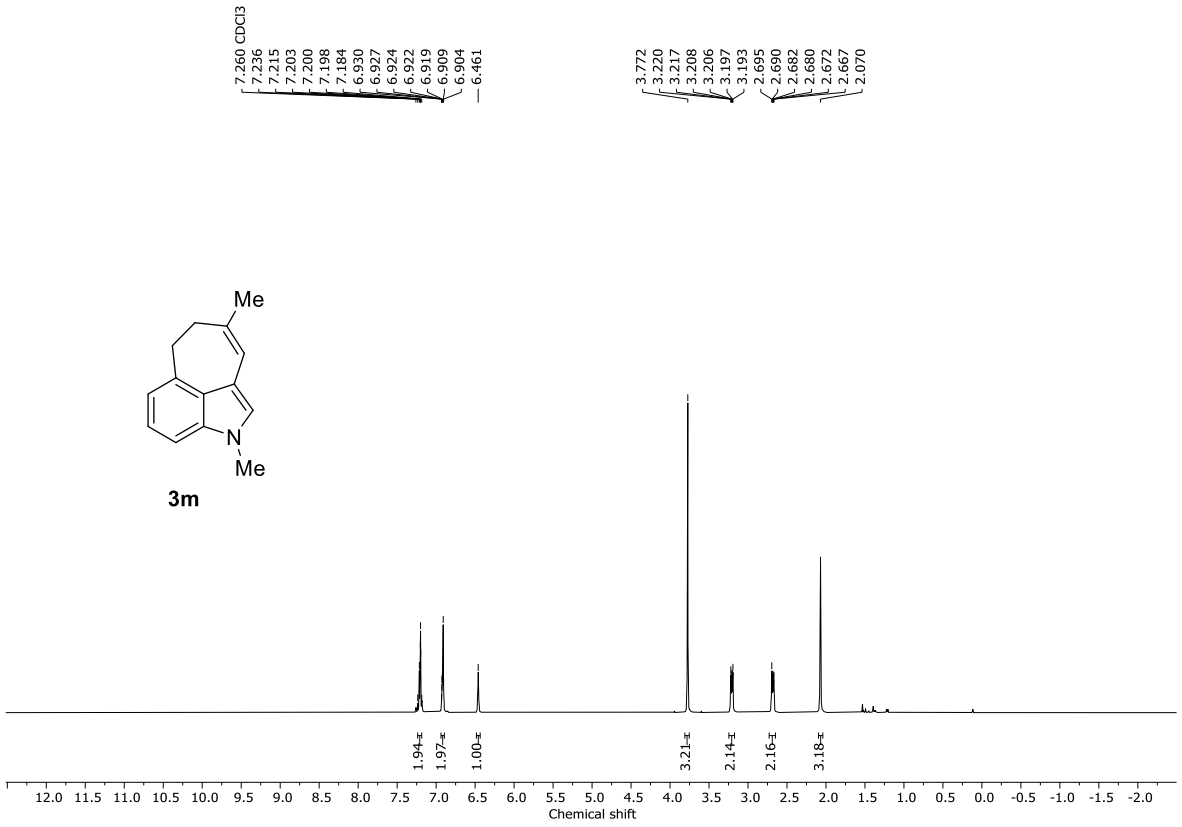
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3k**.



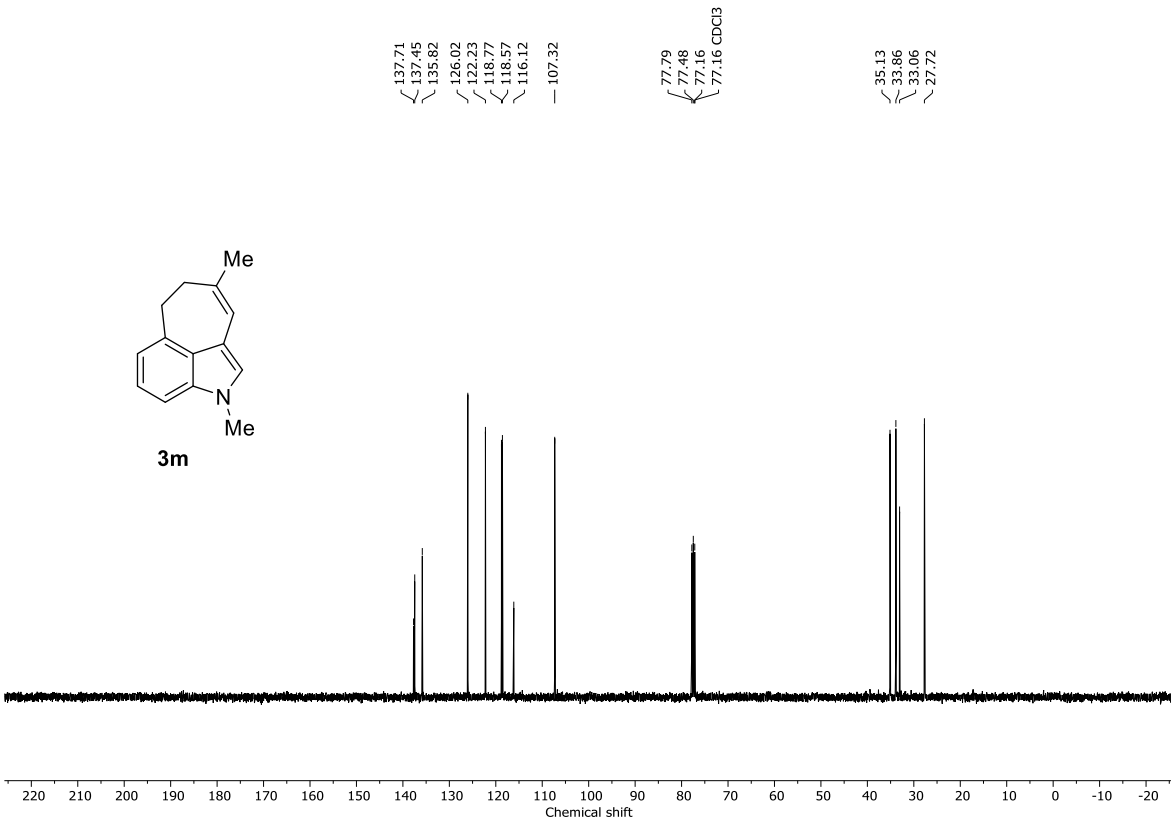
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3l**.



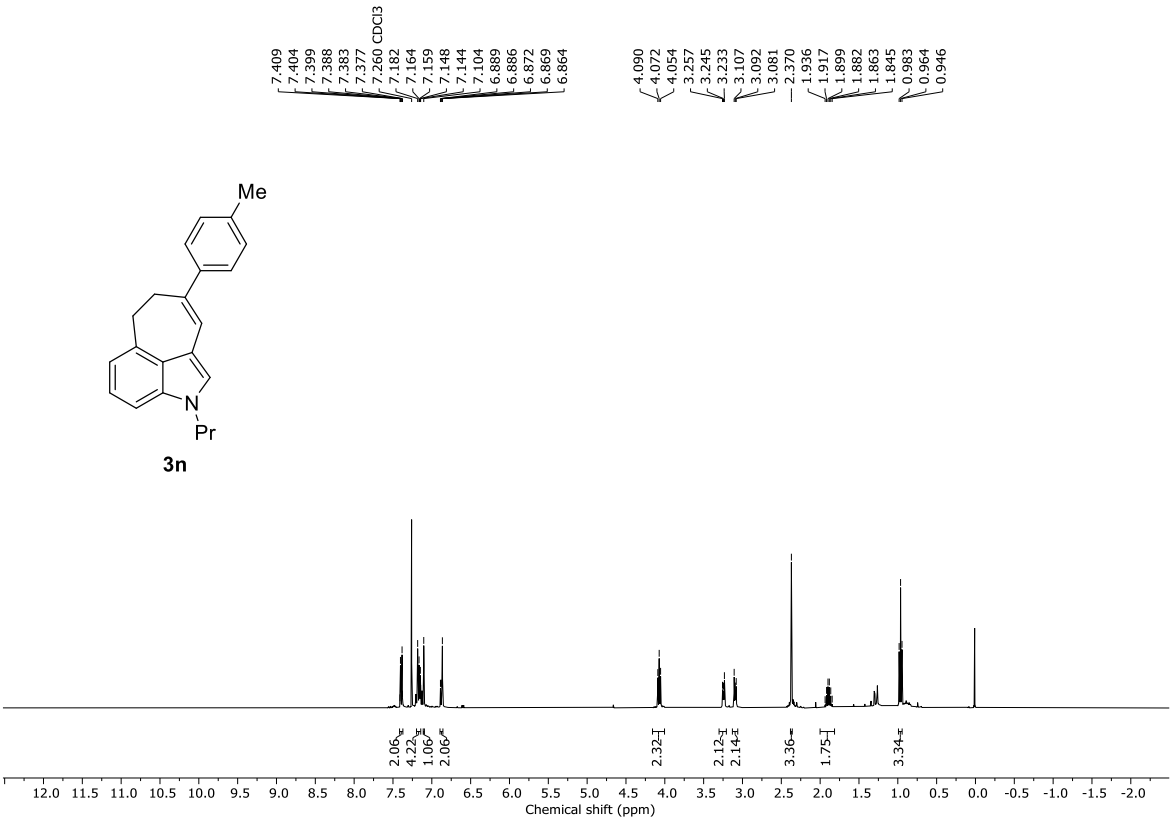
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3l**.



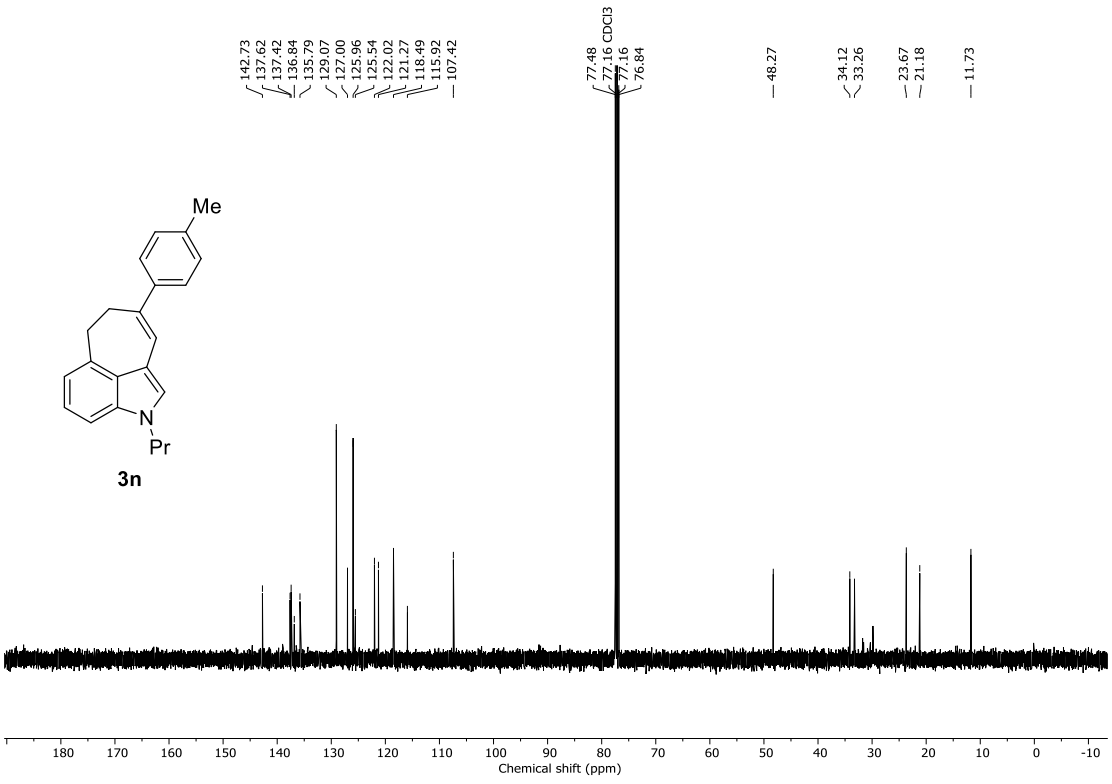
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3m**.



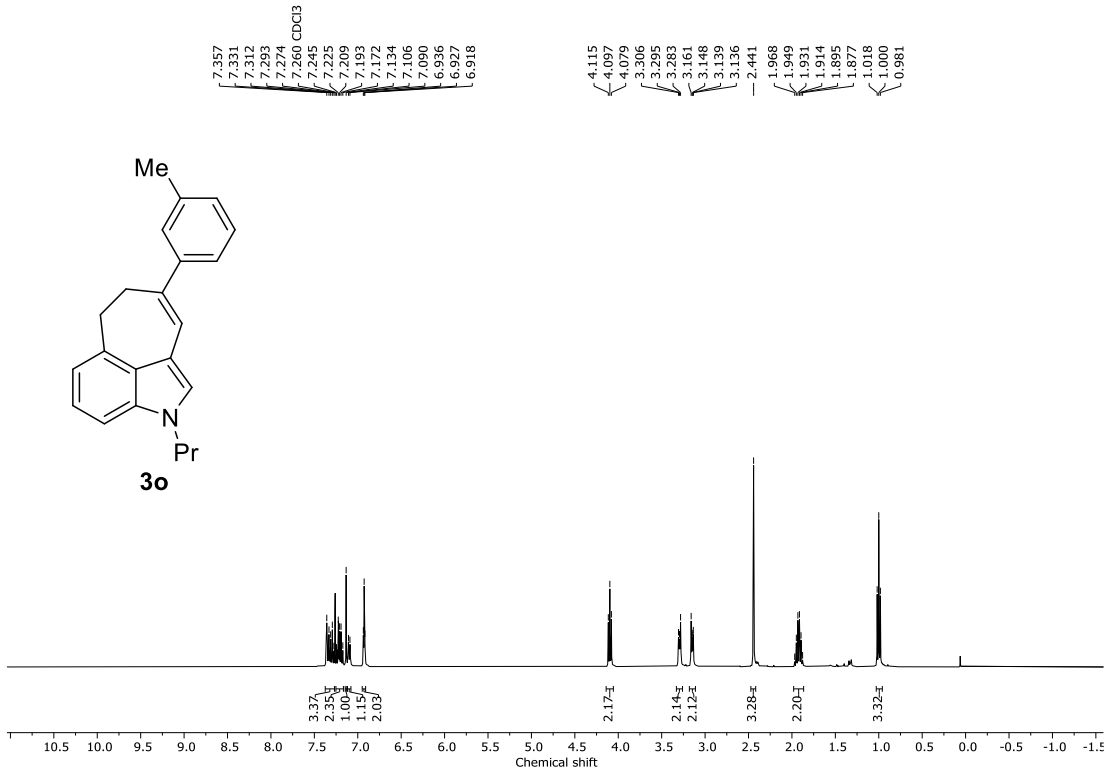
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of **3m**.



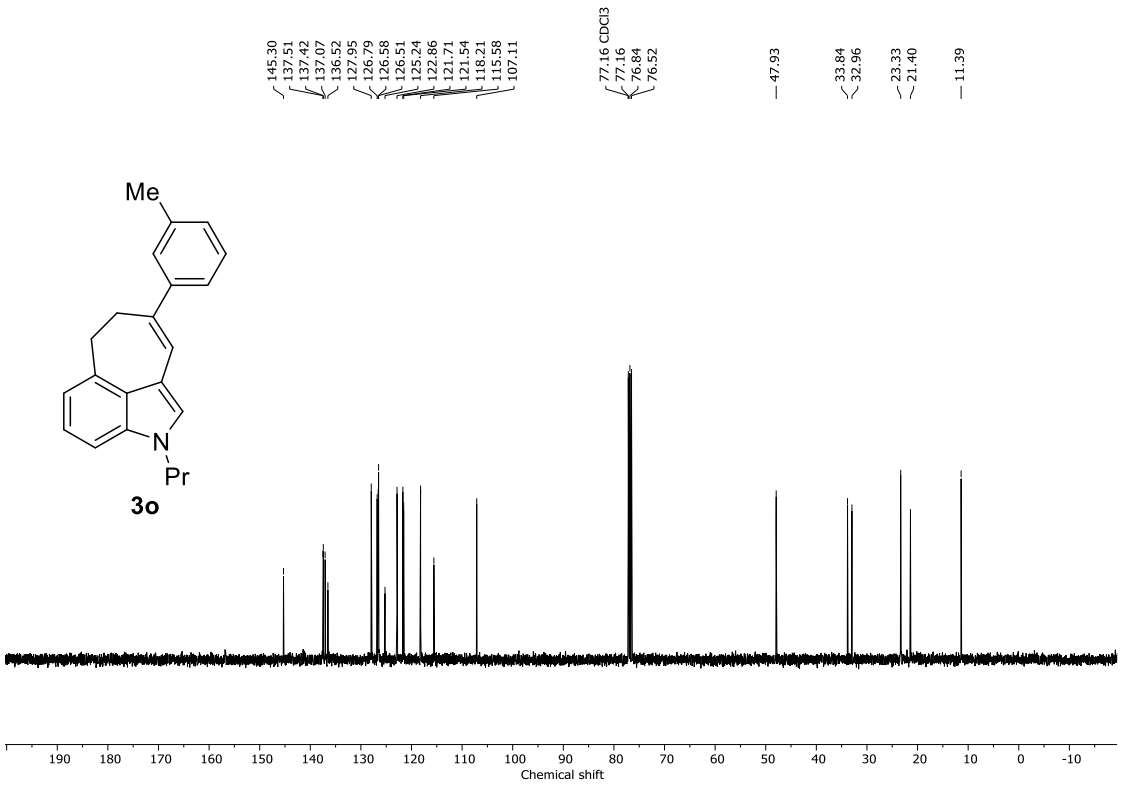
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3n**.



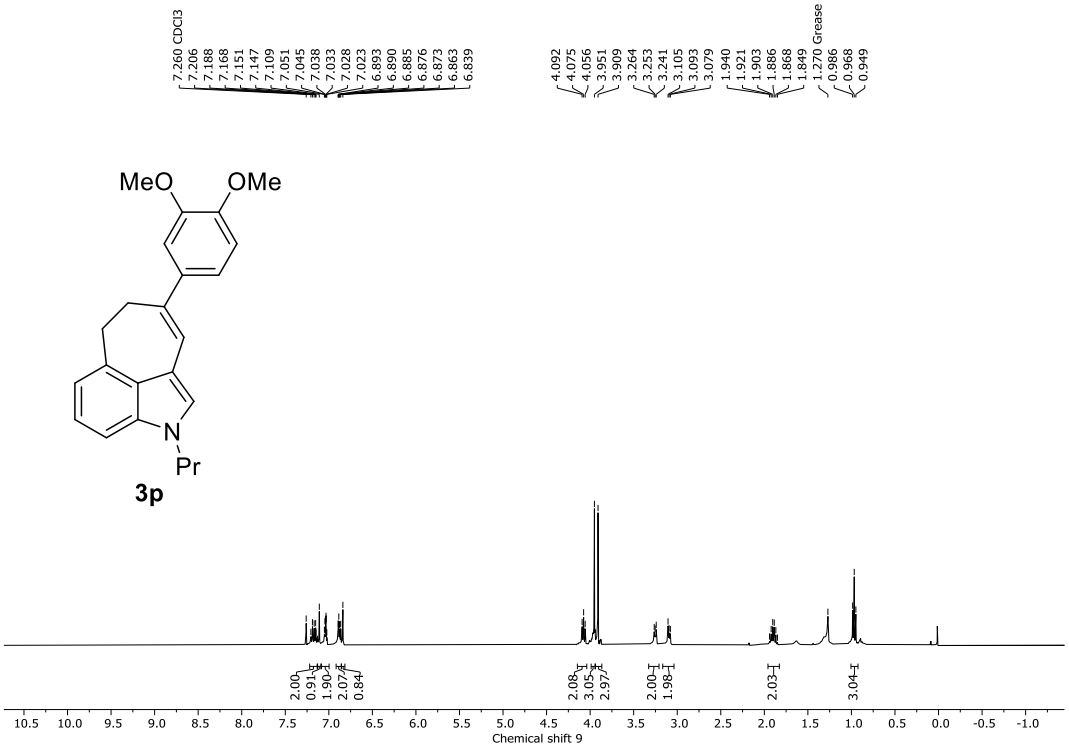
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3n**.



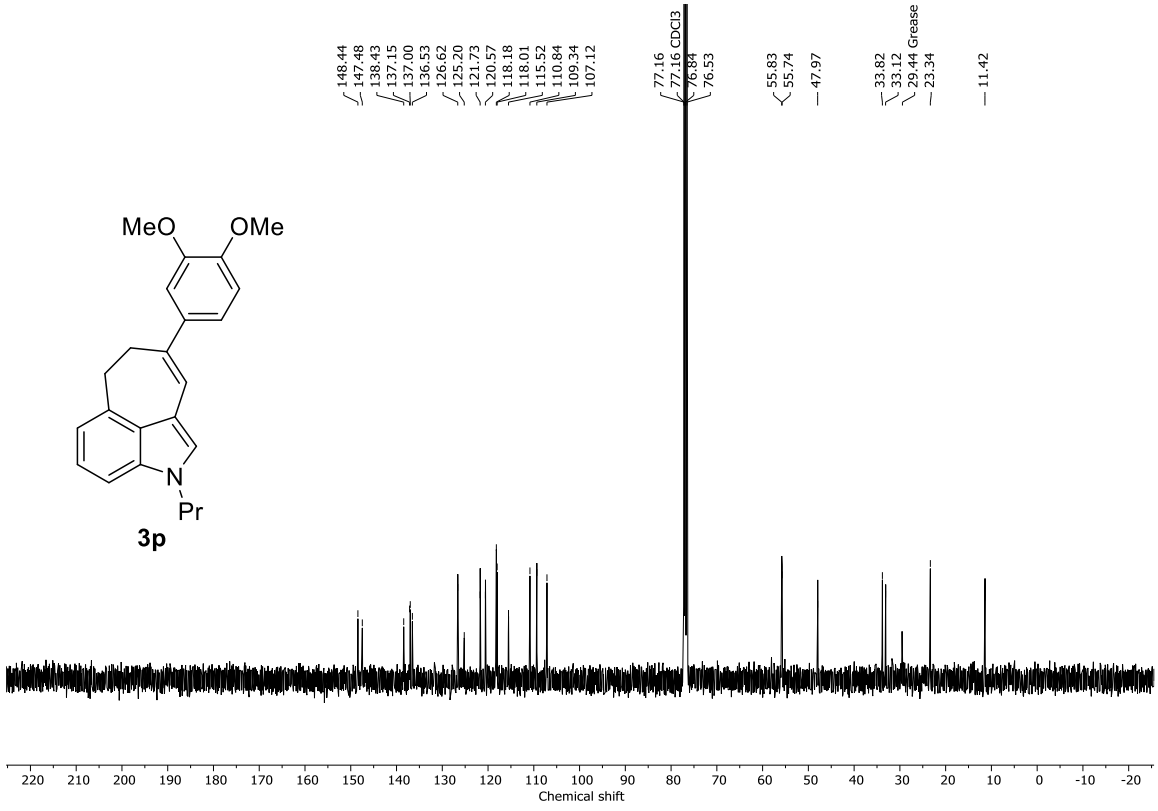
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3o**.



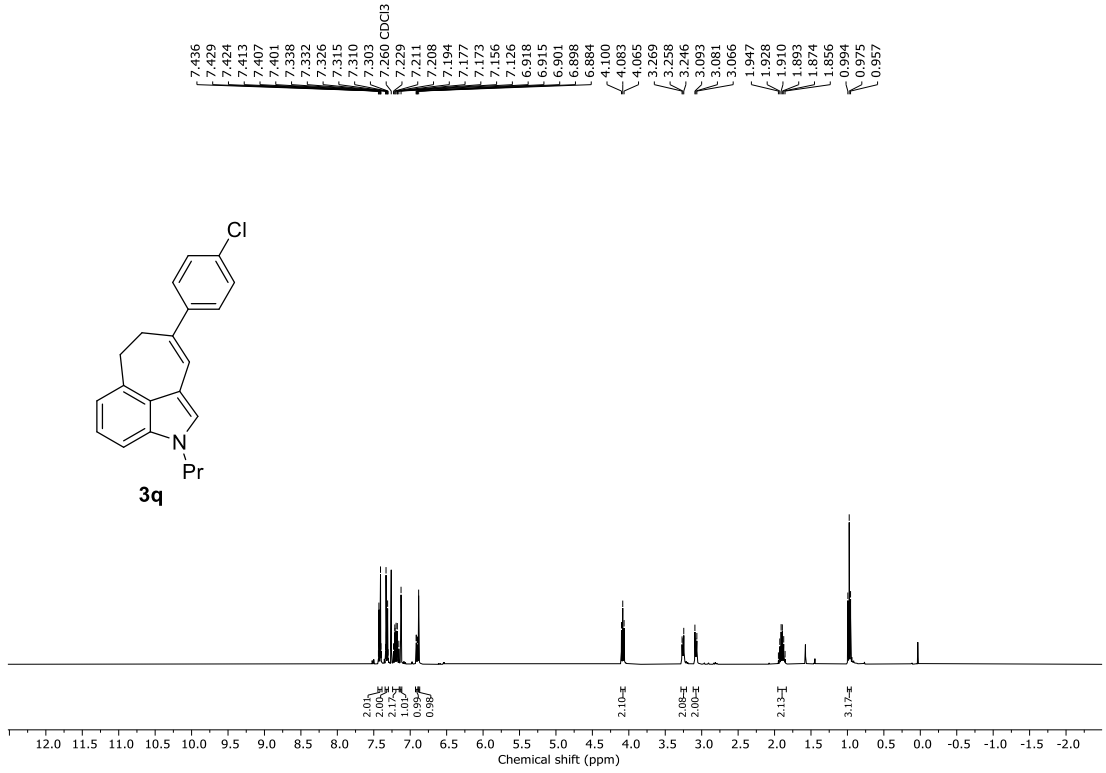
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3o**.



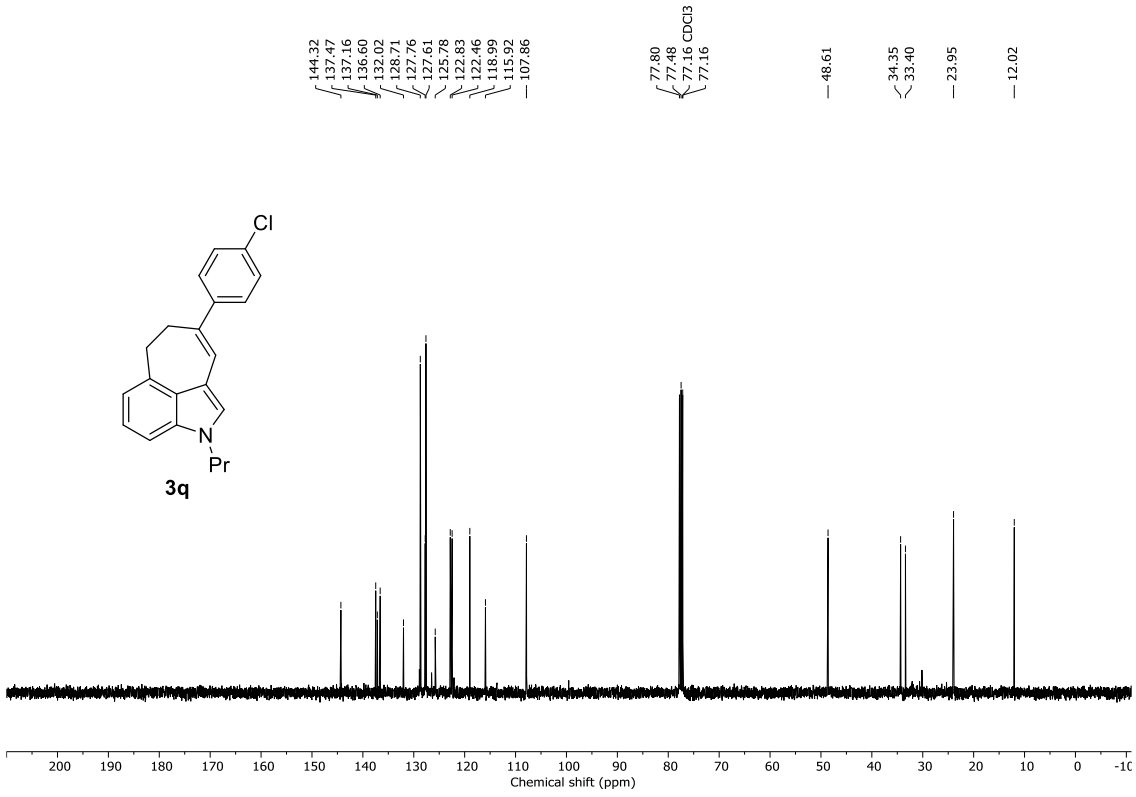
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3p**.



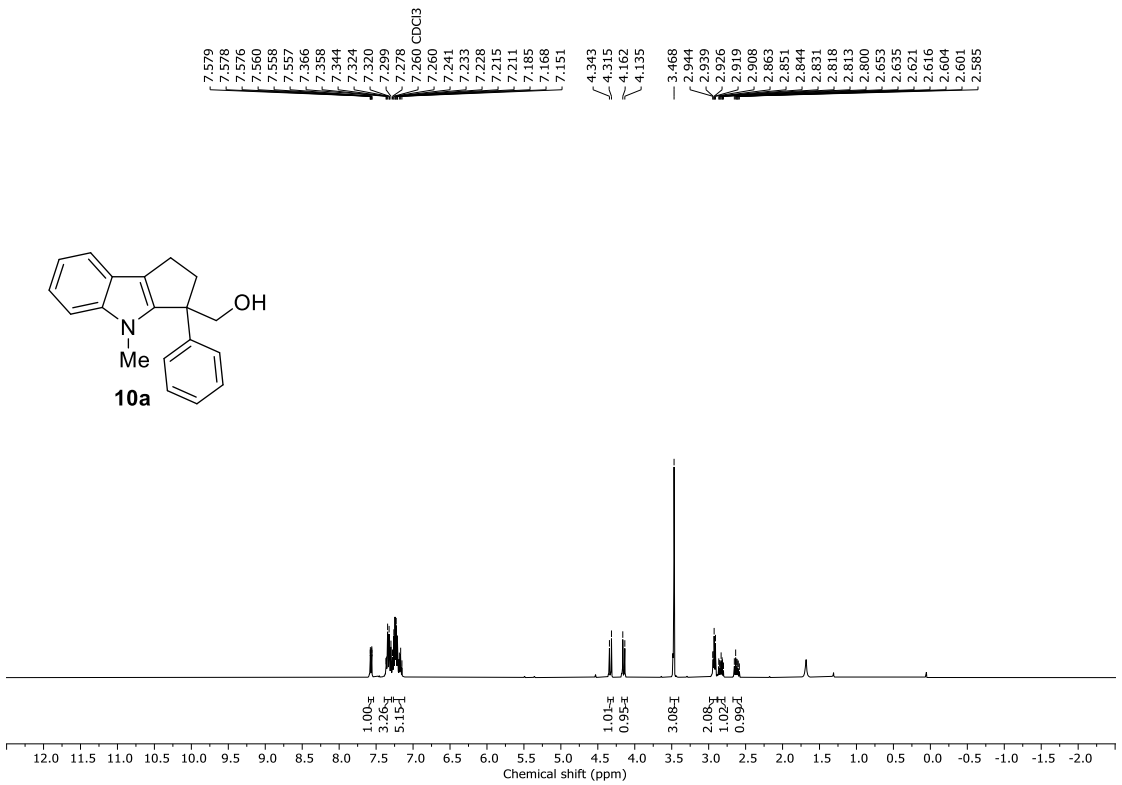
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3p**.



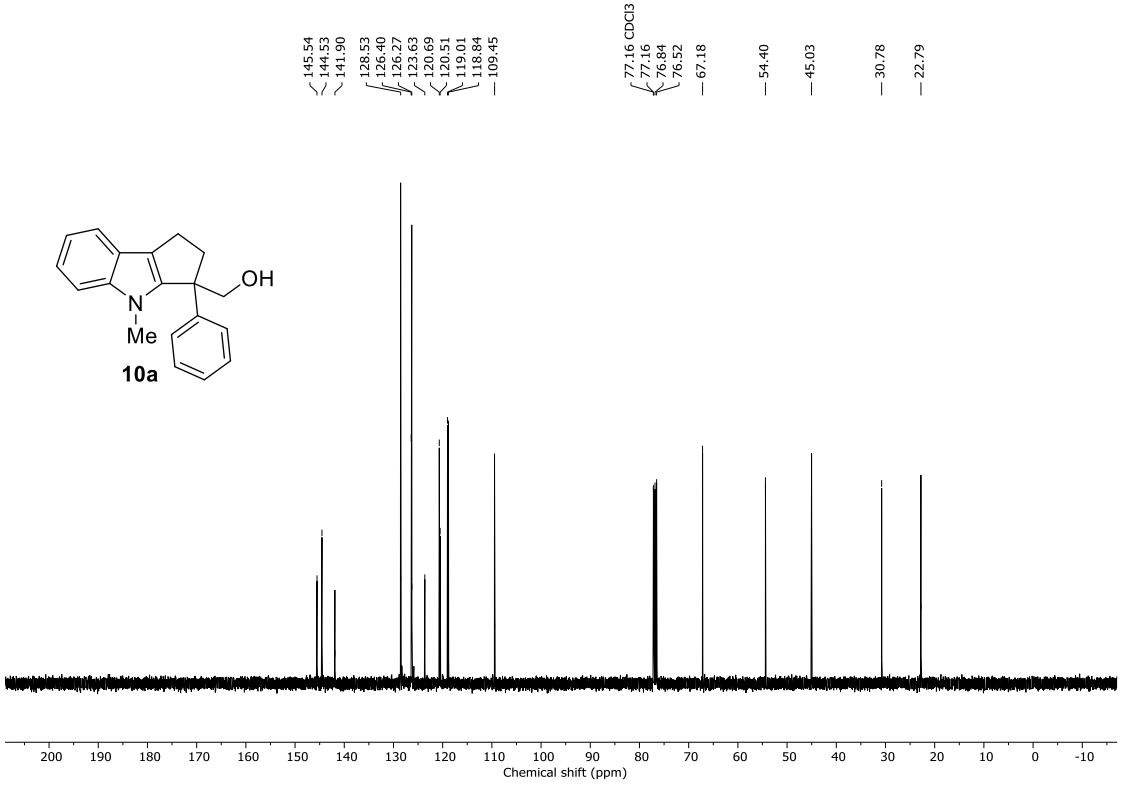
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **3q**.



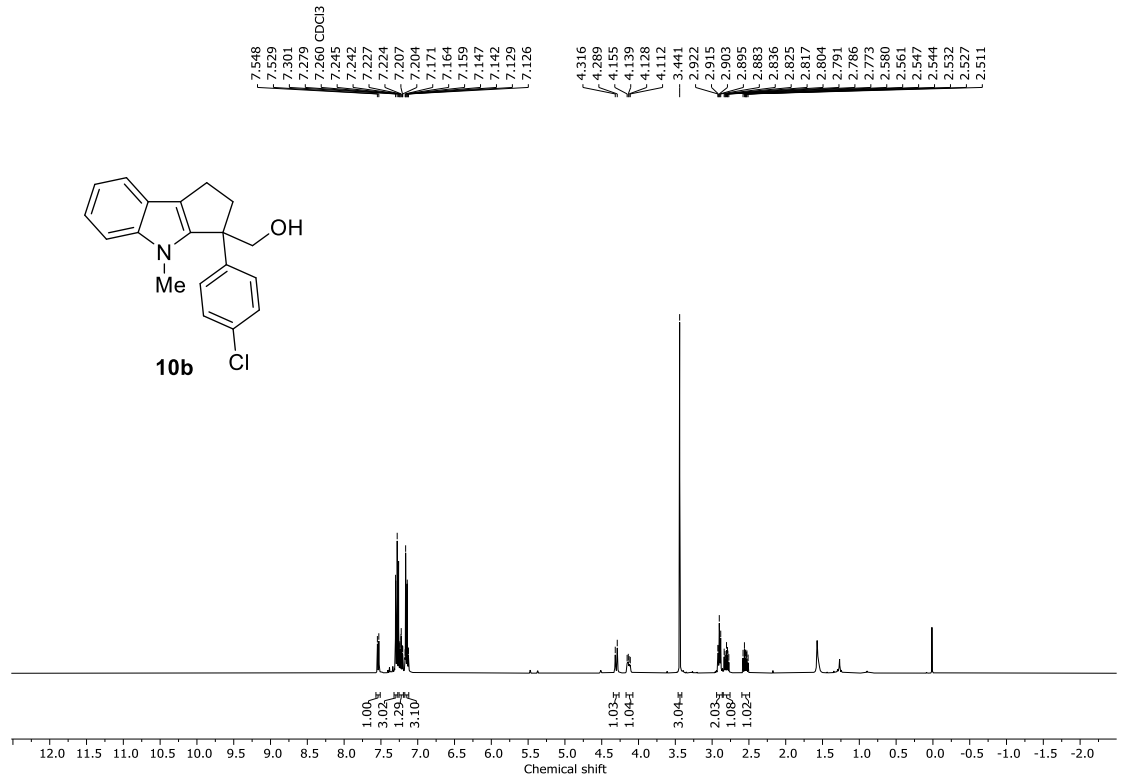
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **3q**.



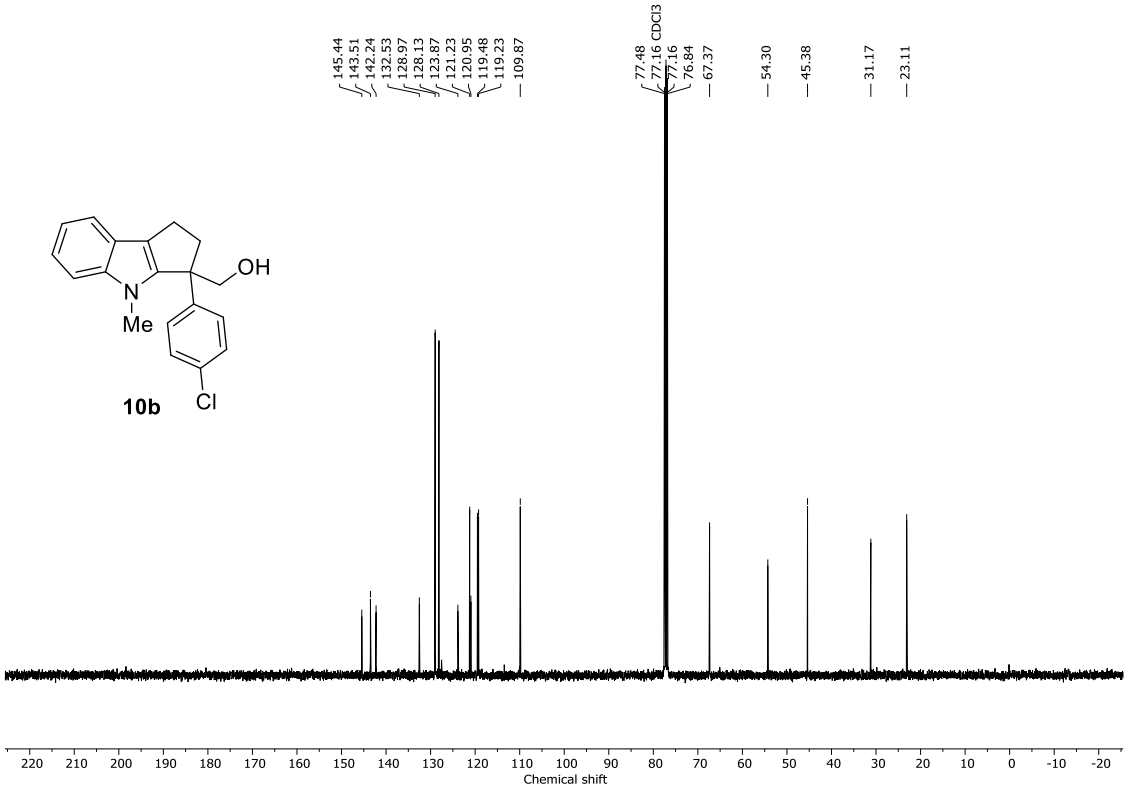
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **10a**.



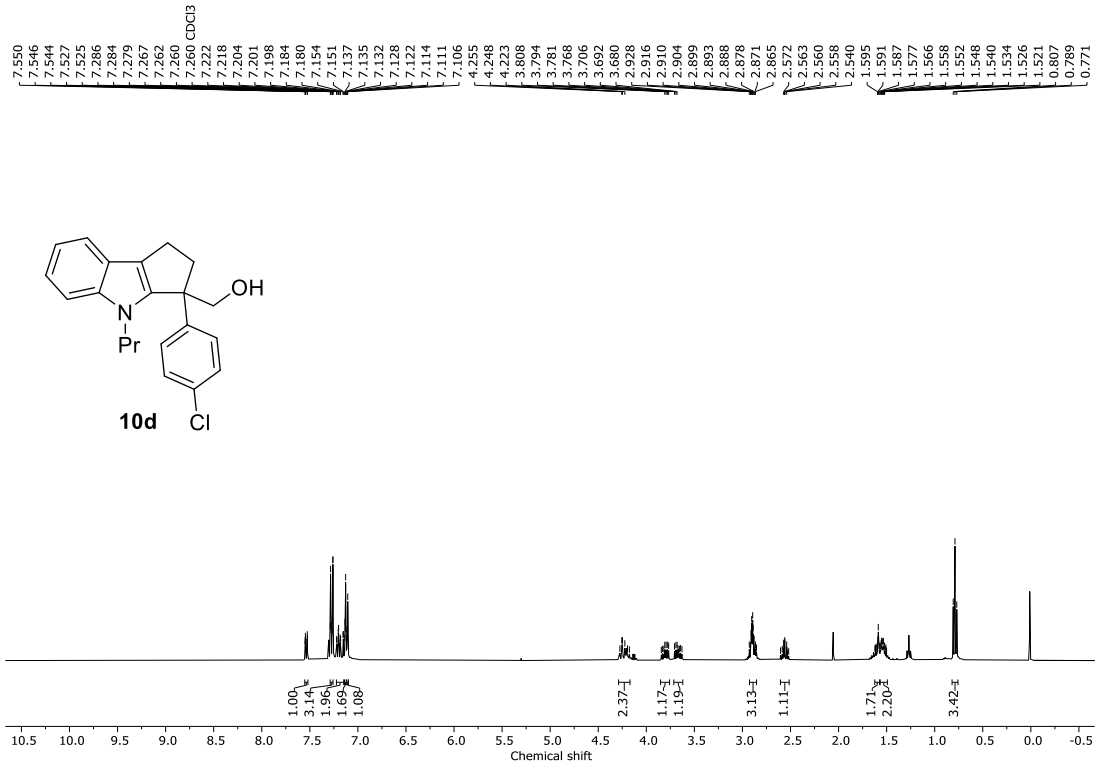
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **10a**.



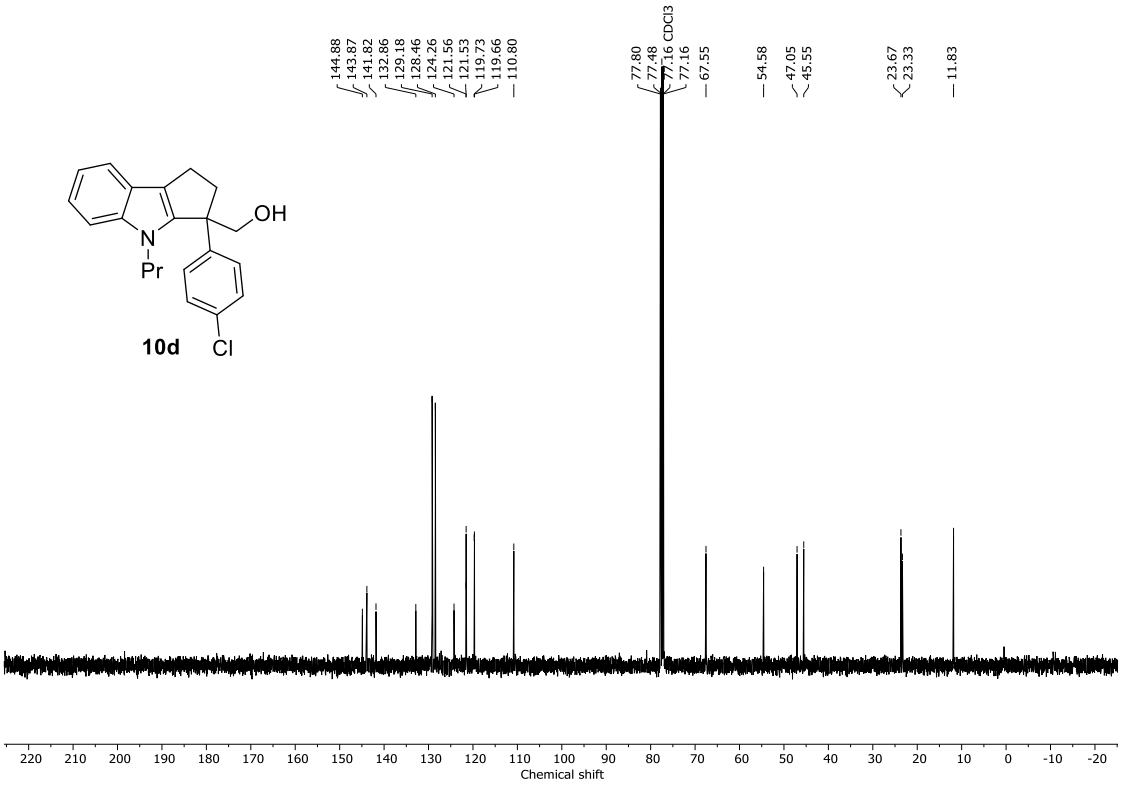
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **10b**.



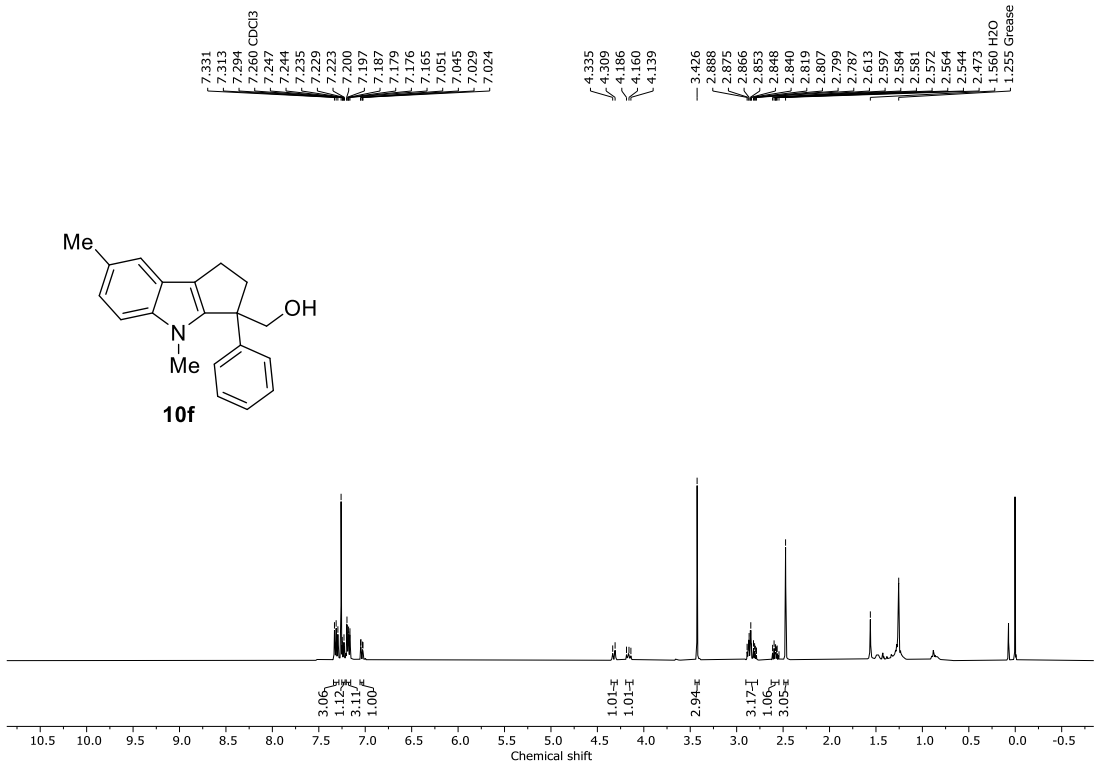
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **10b**.



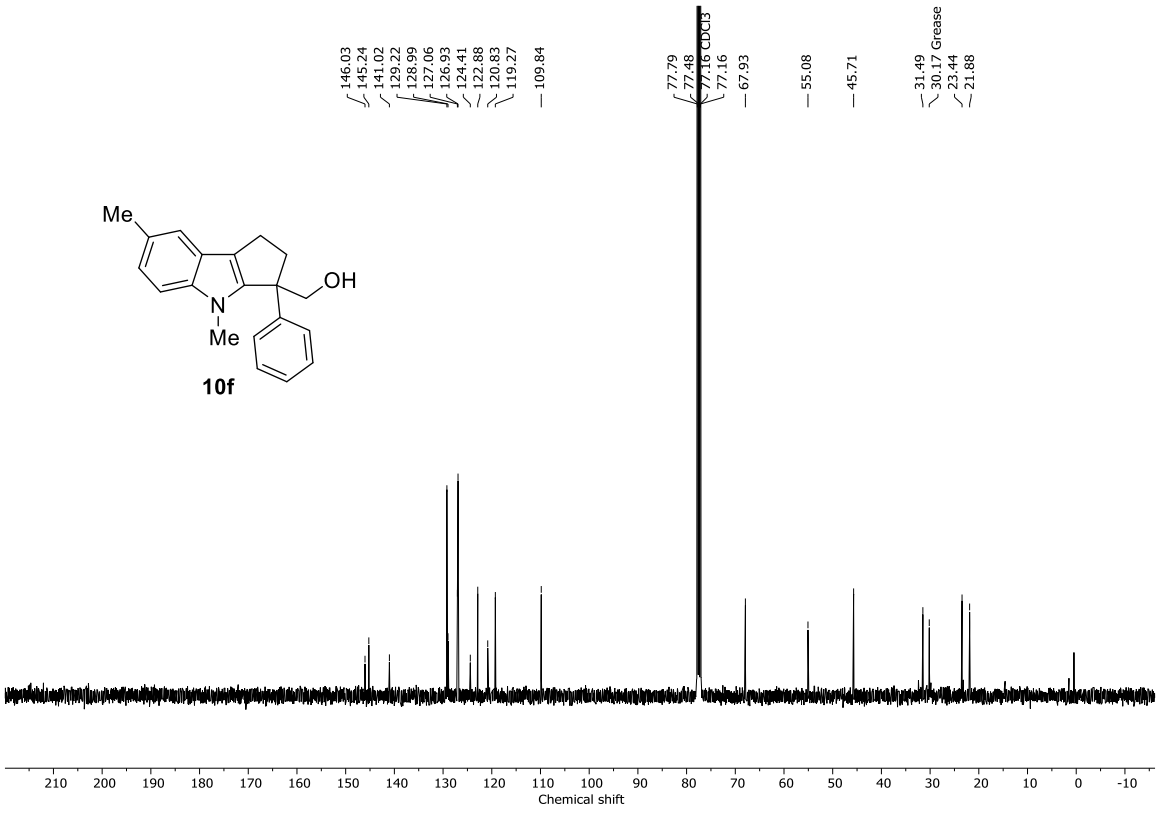
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **10d**.



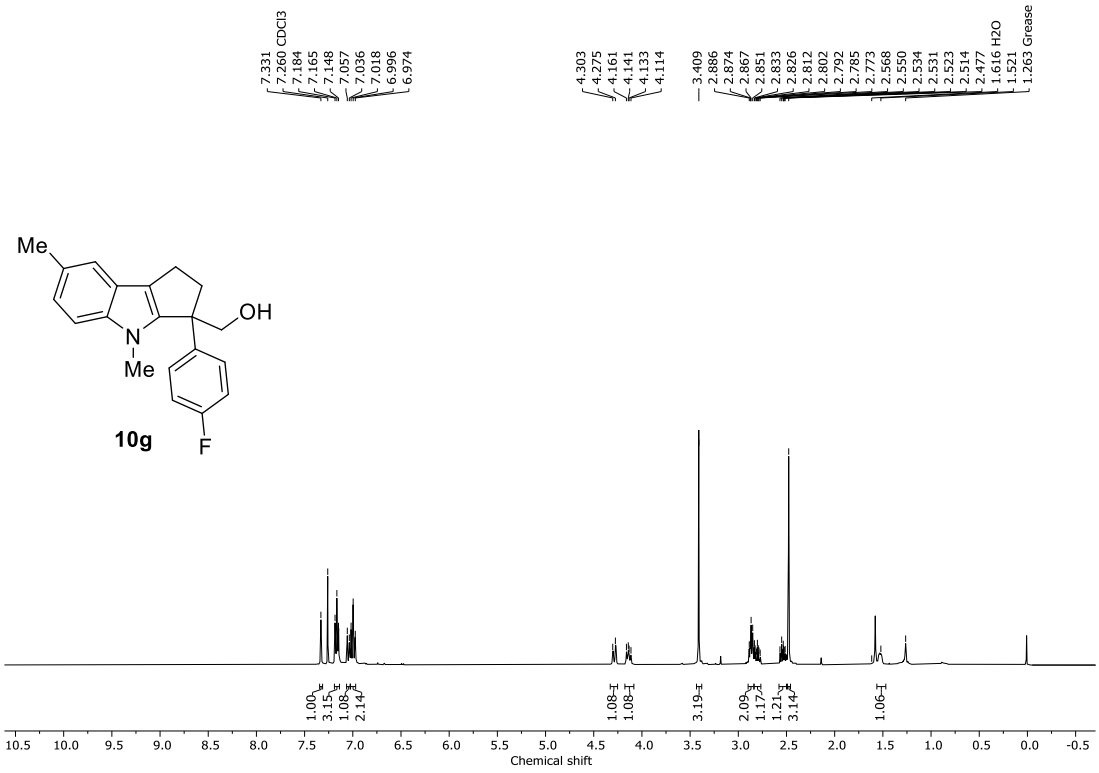
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **10d**.



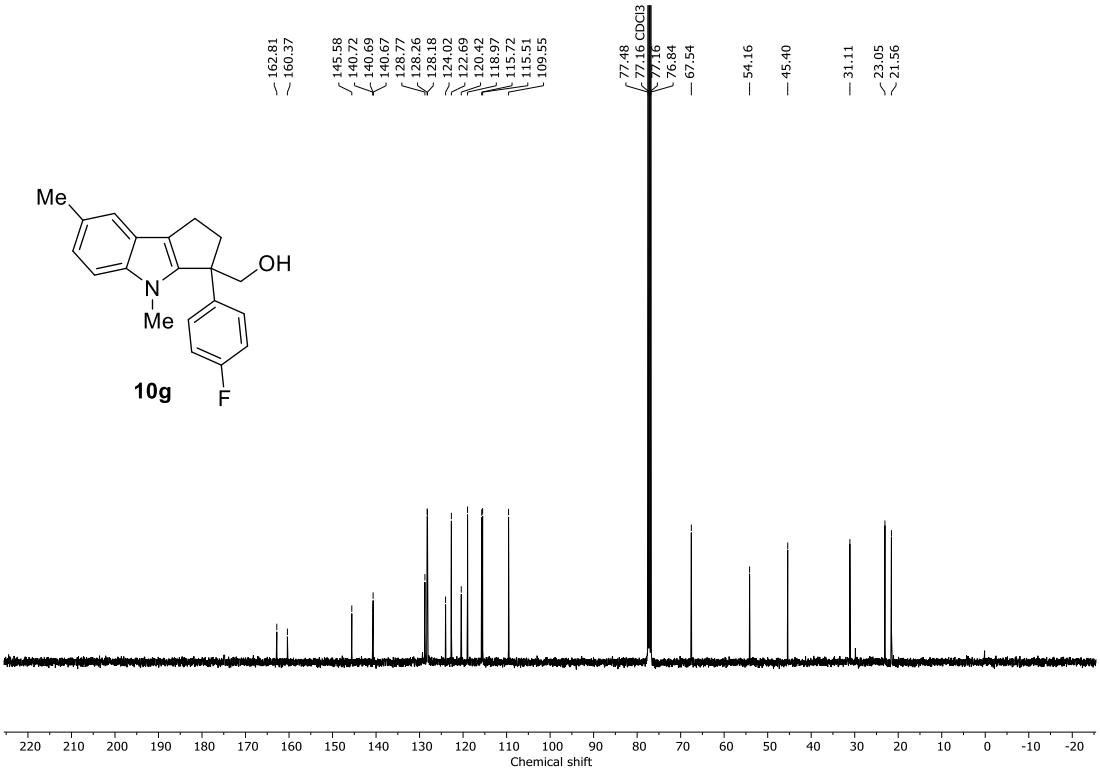
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **10f**.



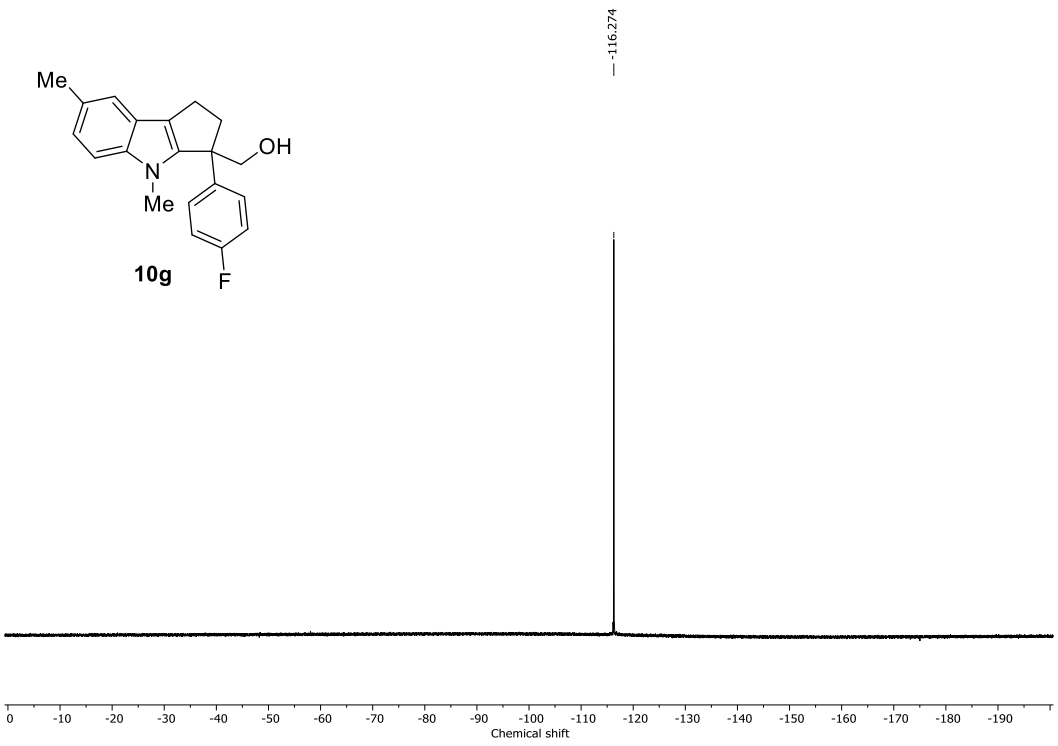
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **10f**.



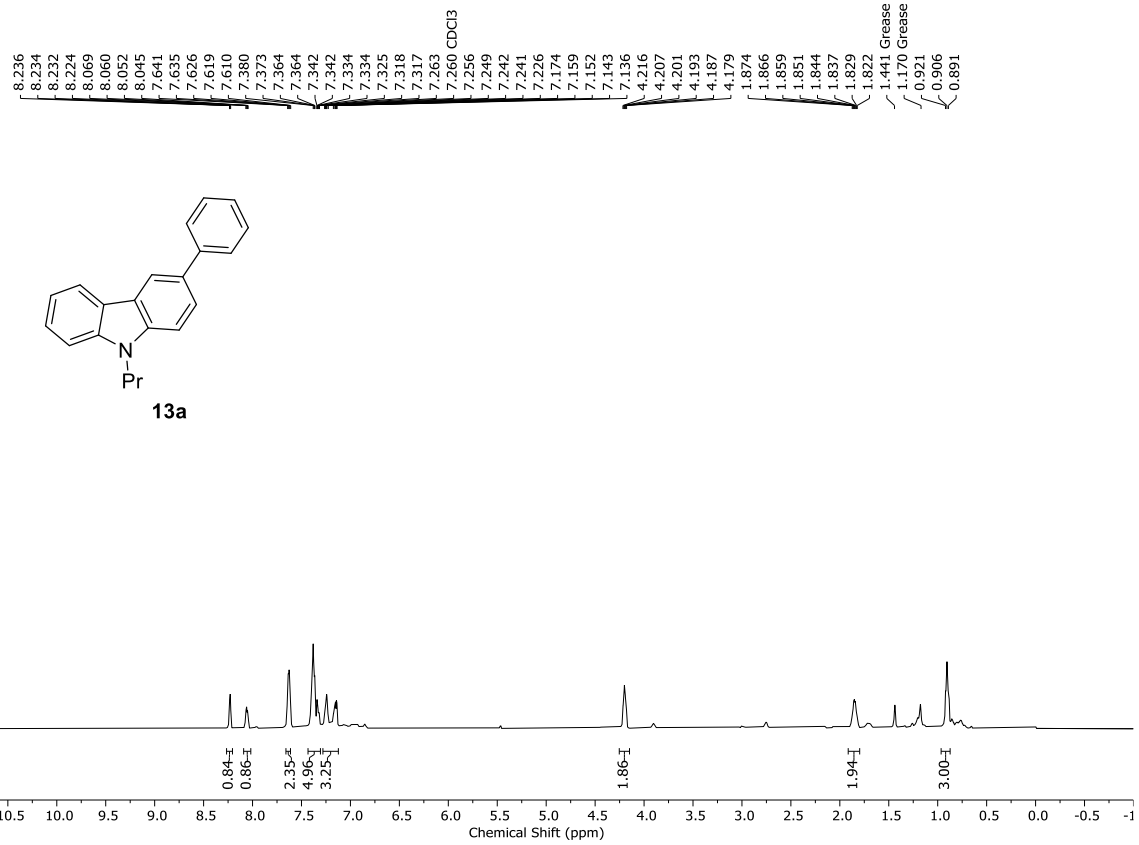
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **10g**.



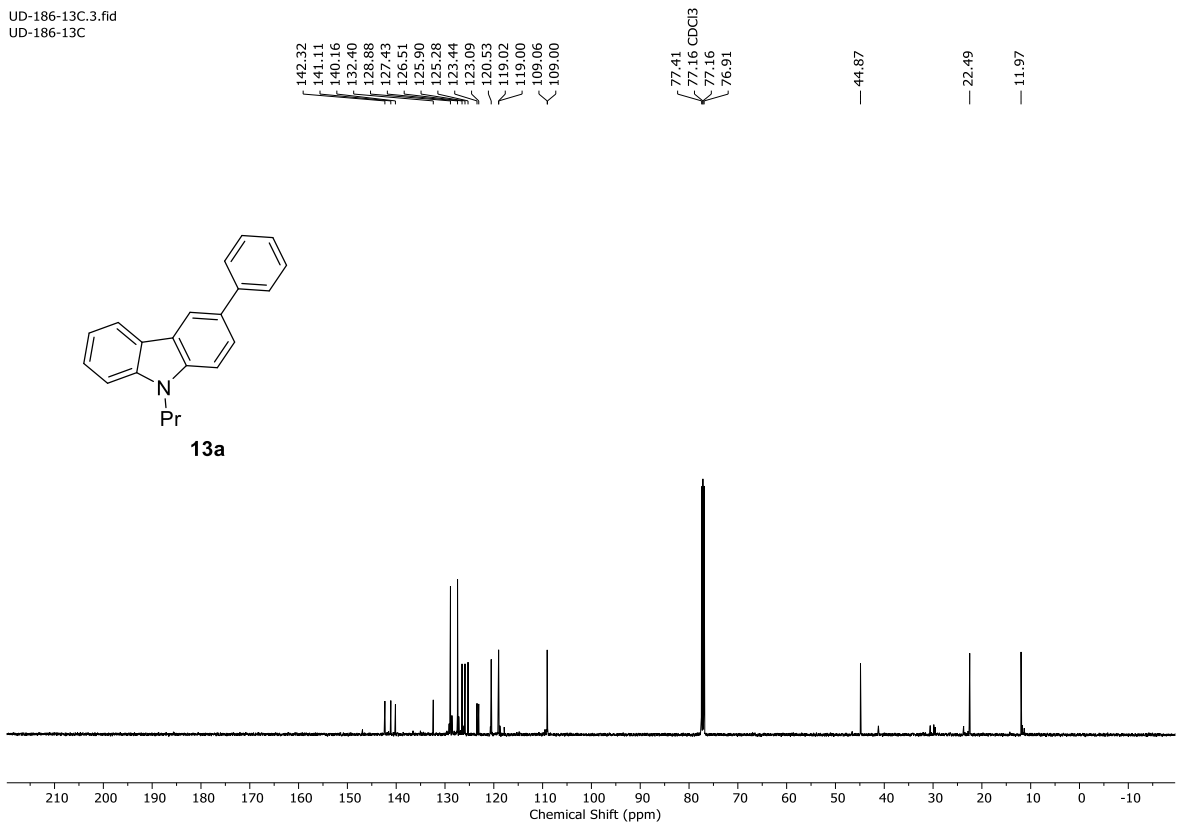
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **10g**.



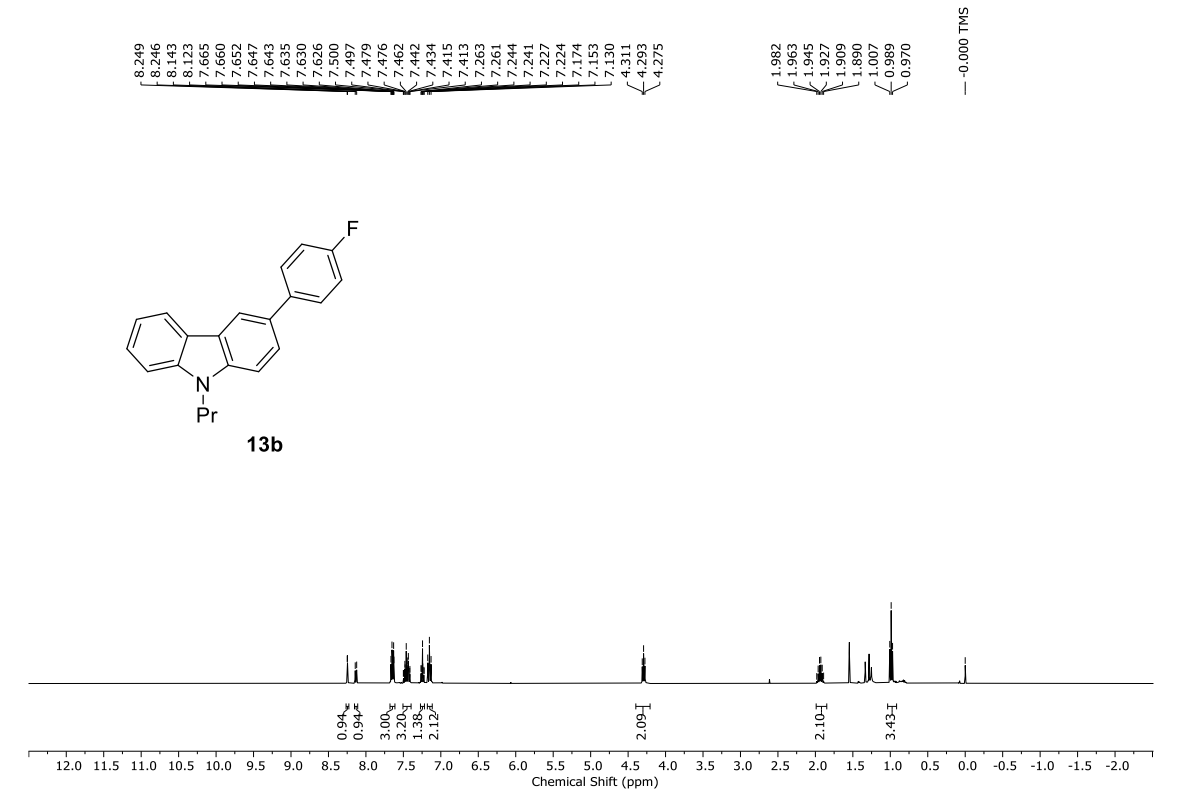
^{19}F NMR (100 MHz, $CDCl_3$) spectra of **10g**.



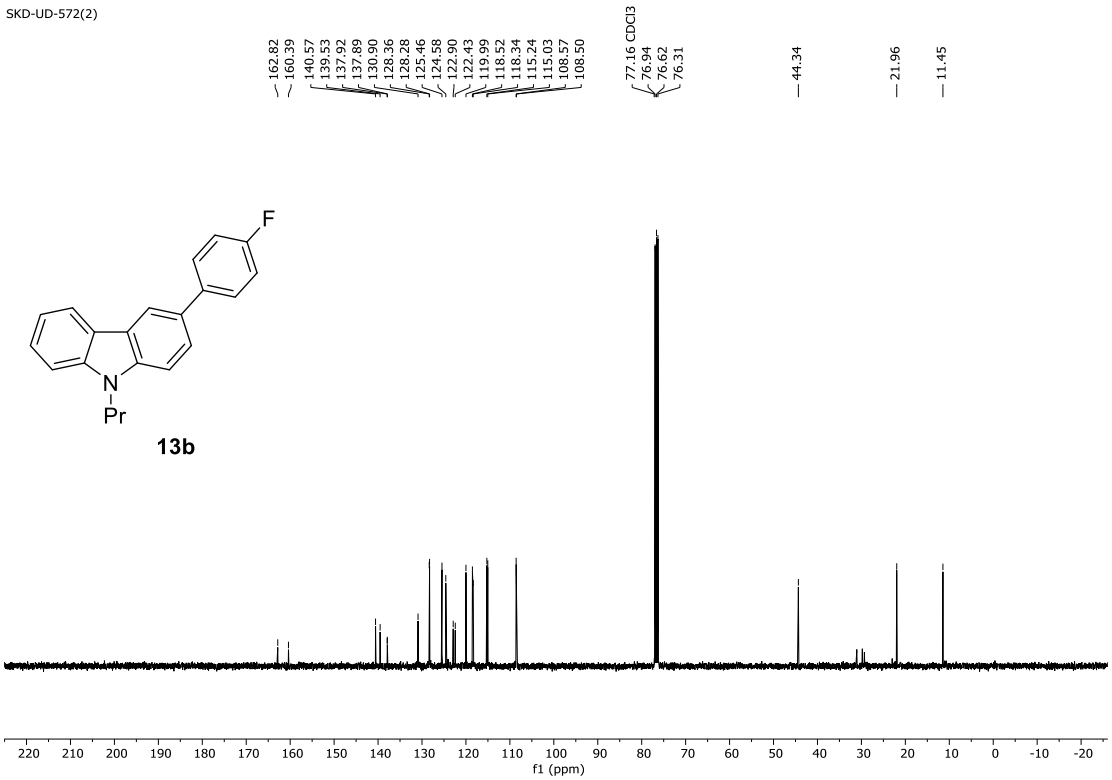
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **13a**.



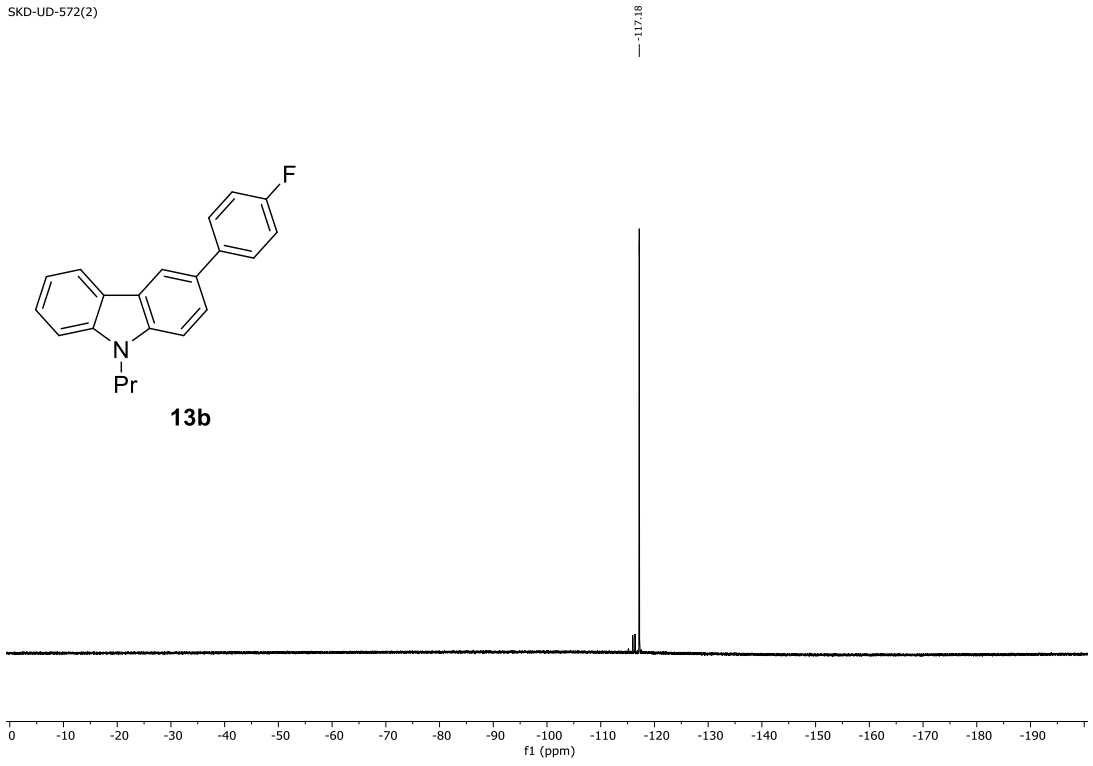
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra of **13a**.



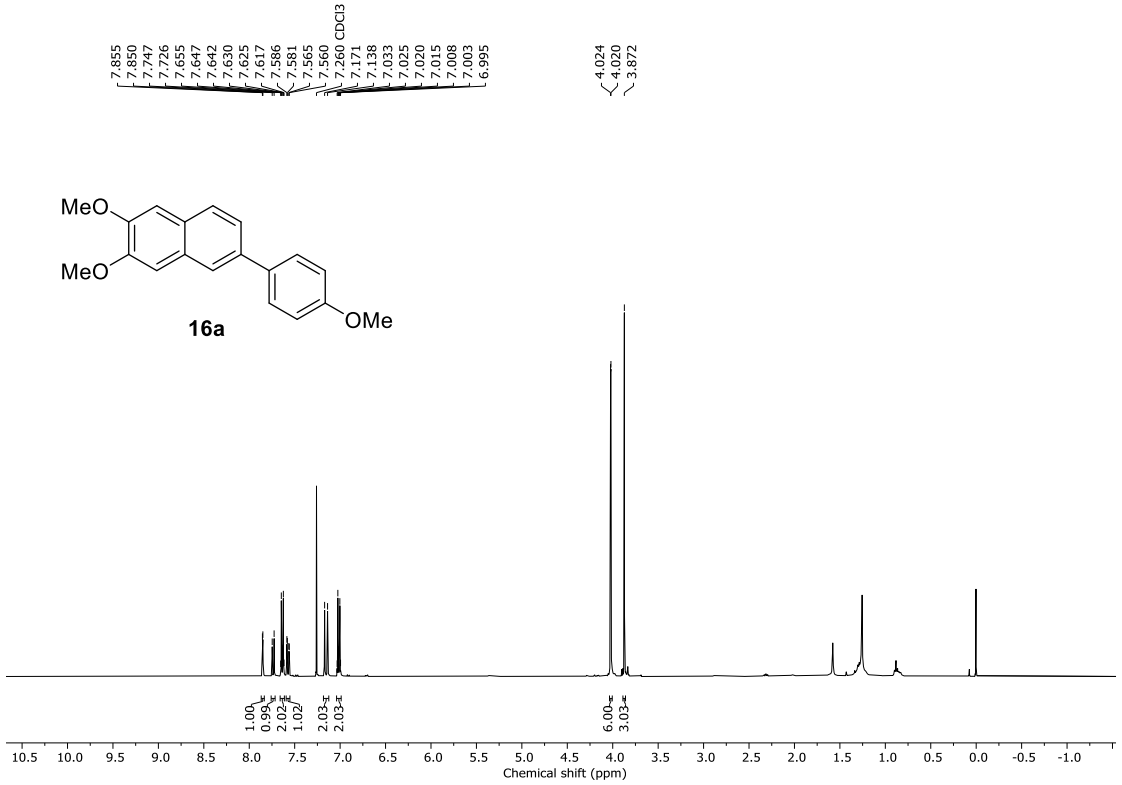
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **13b**.



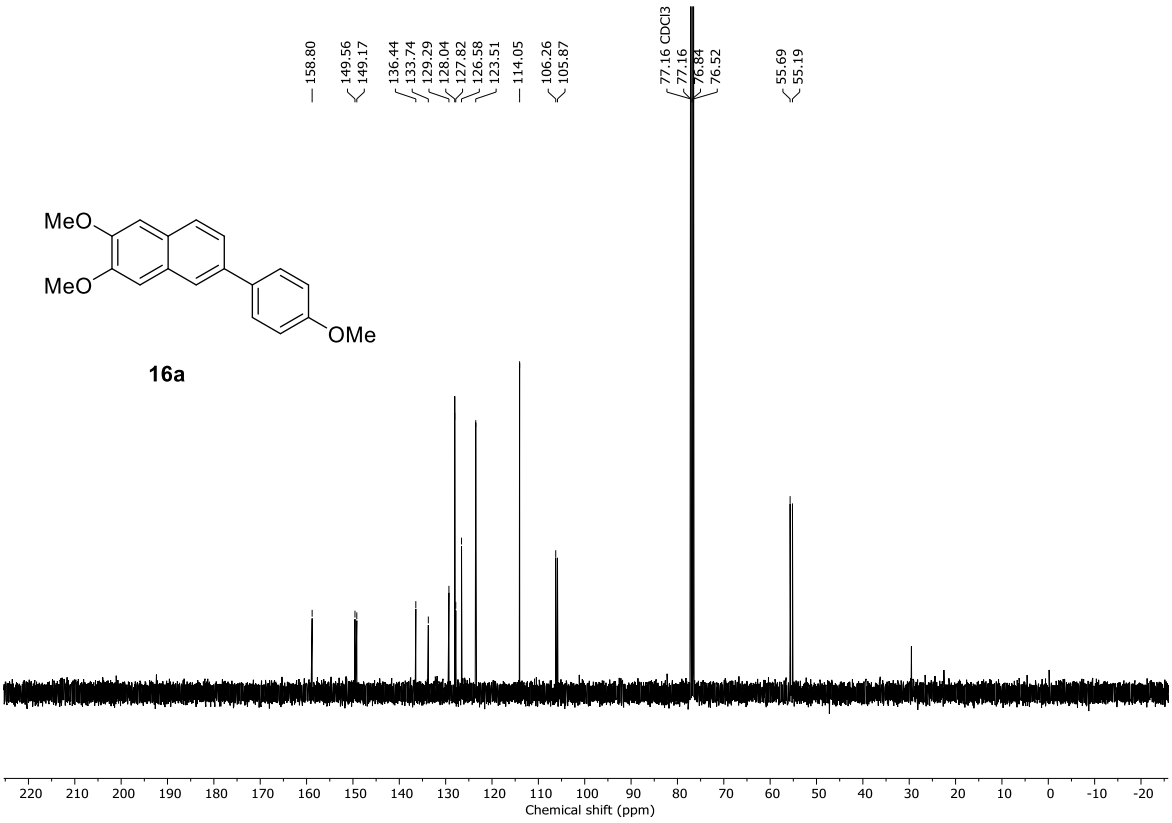
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra of **13b**.



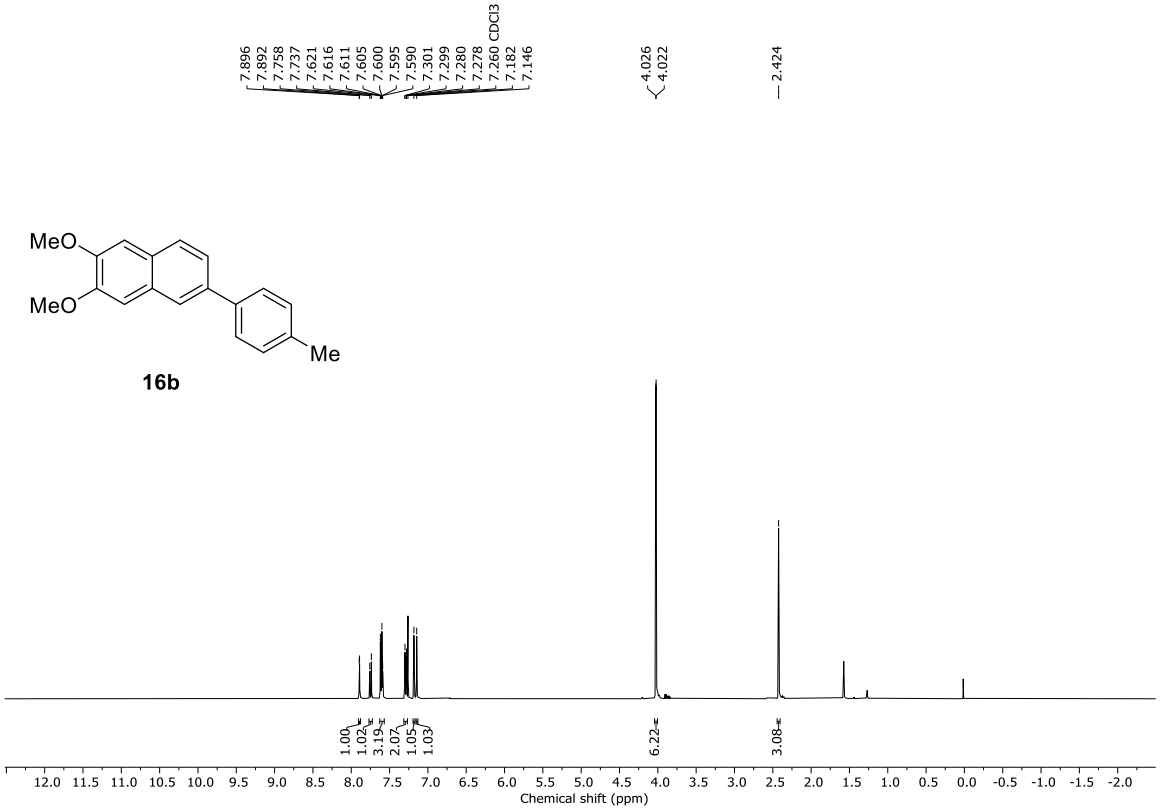
^{19}F NMR (100 MHz, $CDCl_3$) spectra of **13b**.



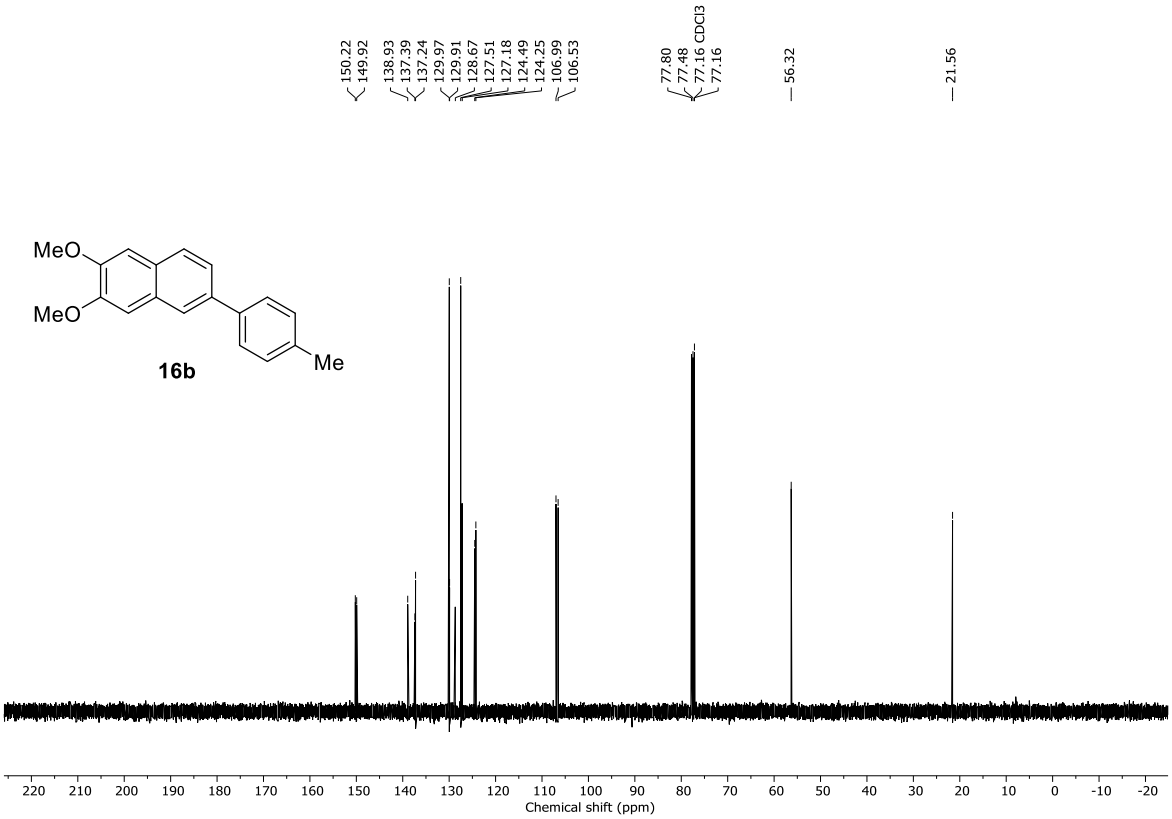
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **16a**.



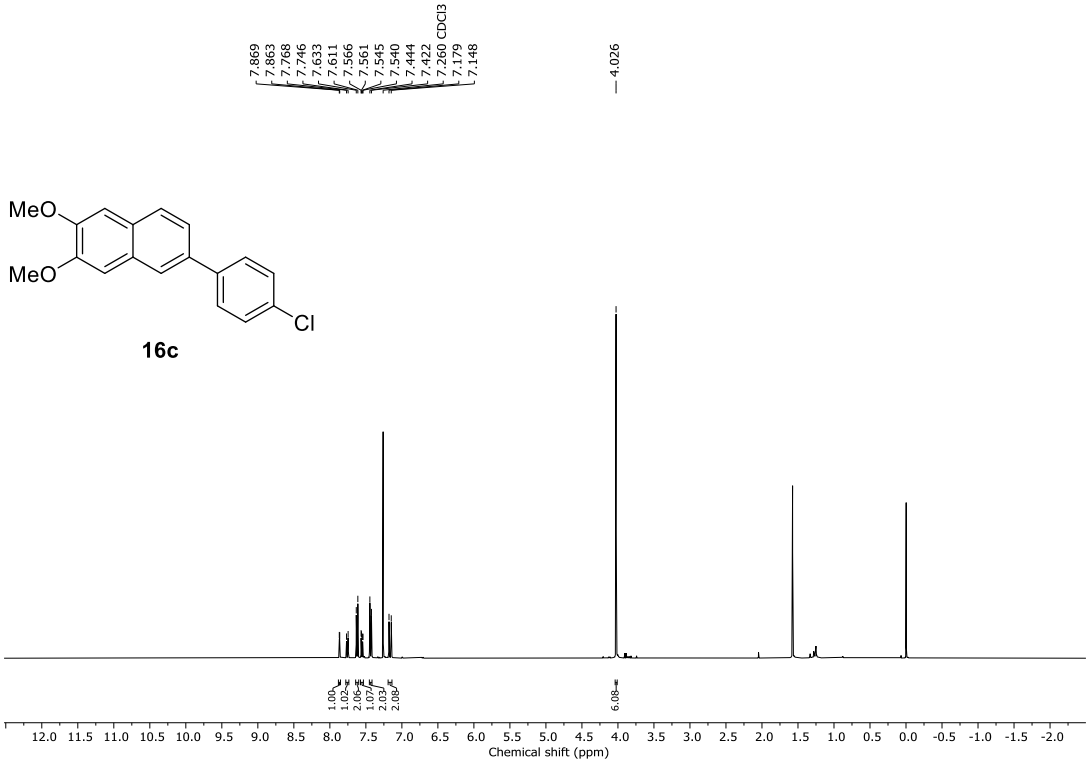
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **16a**.



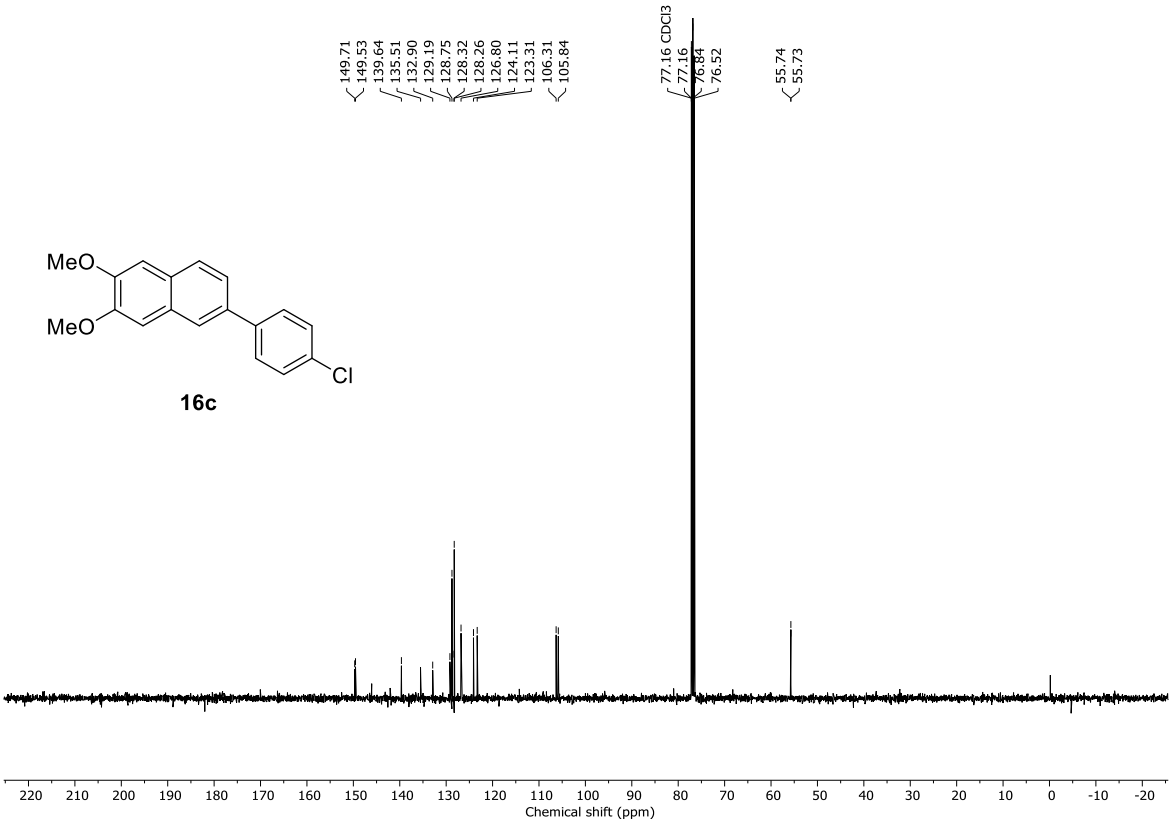
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **16b**.



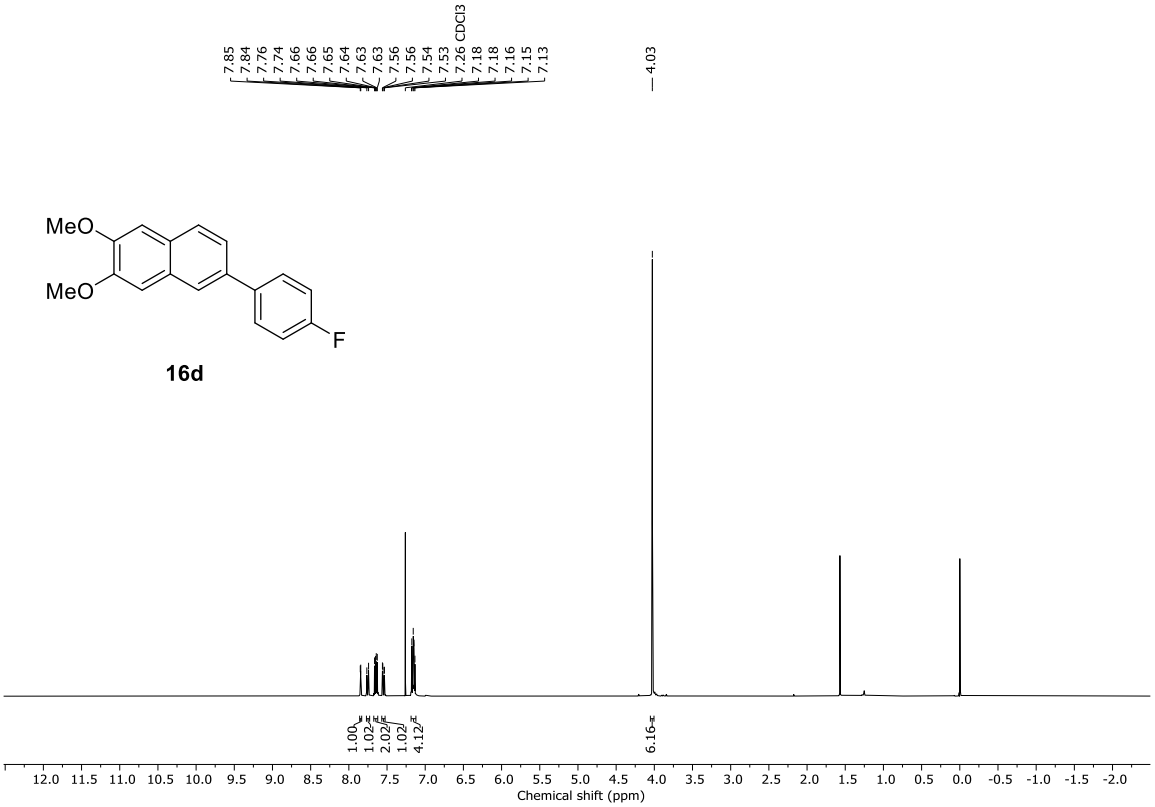
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **16b**.



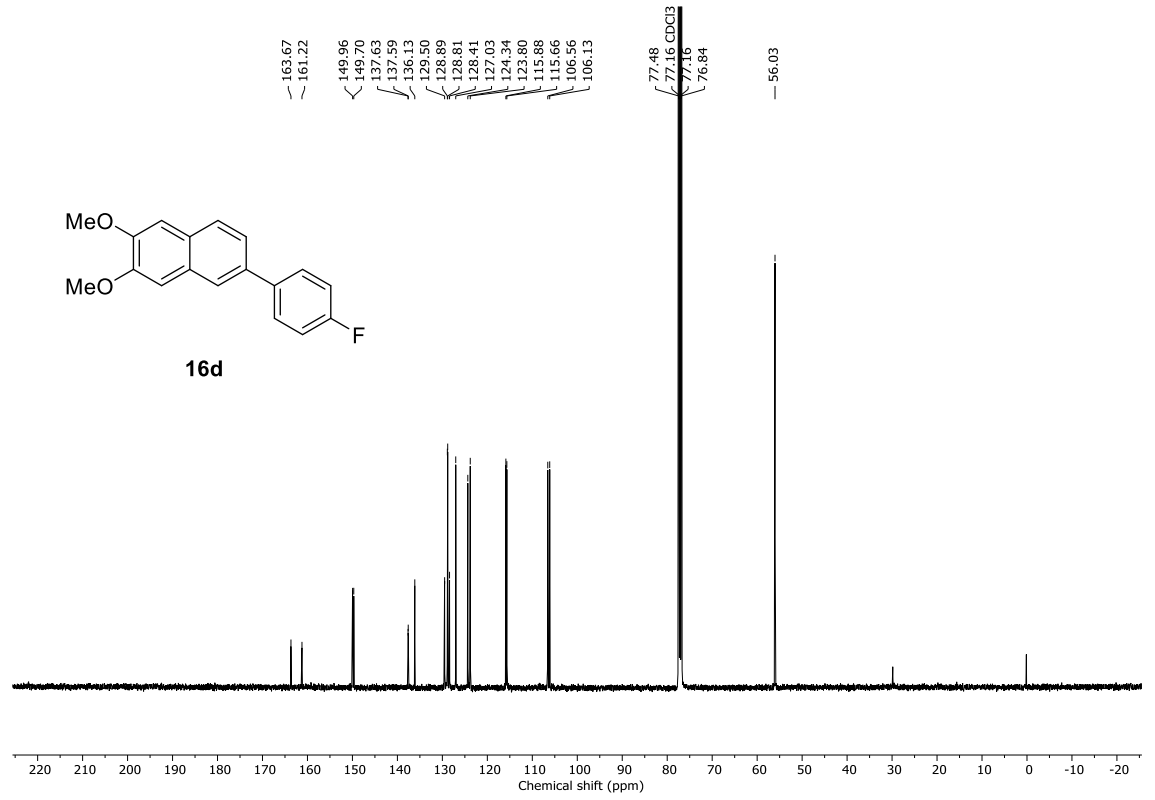
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **16c**.



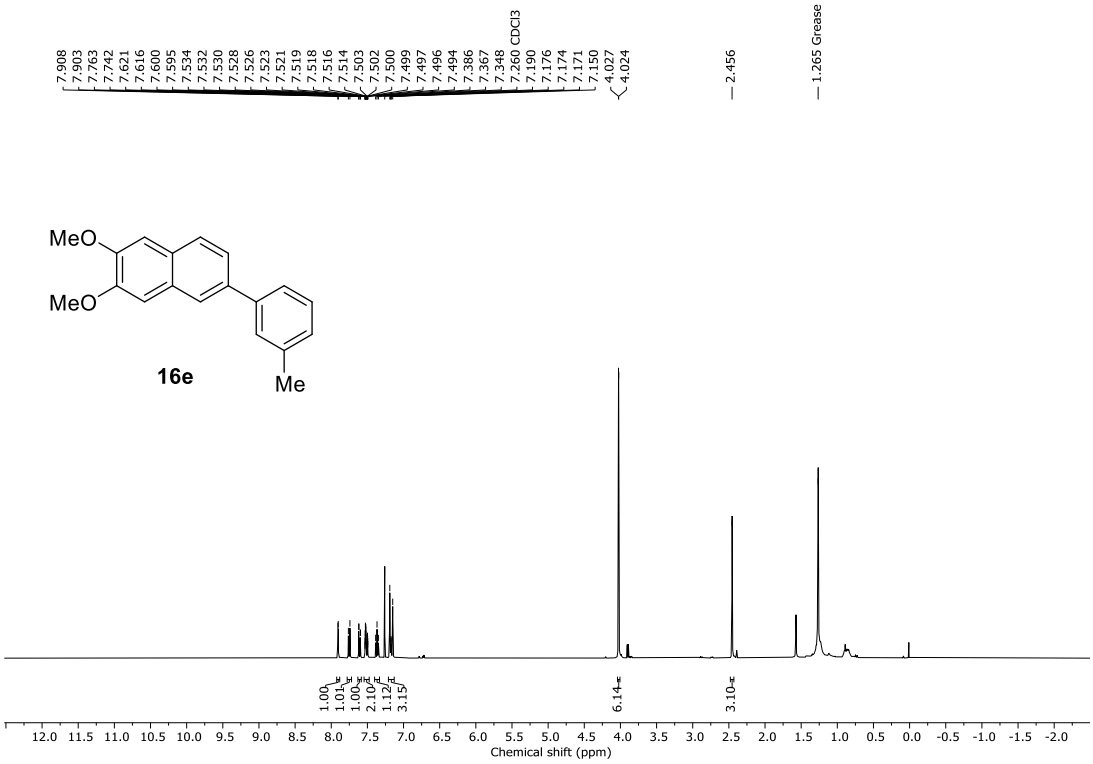
^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **16c**.



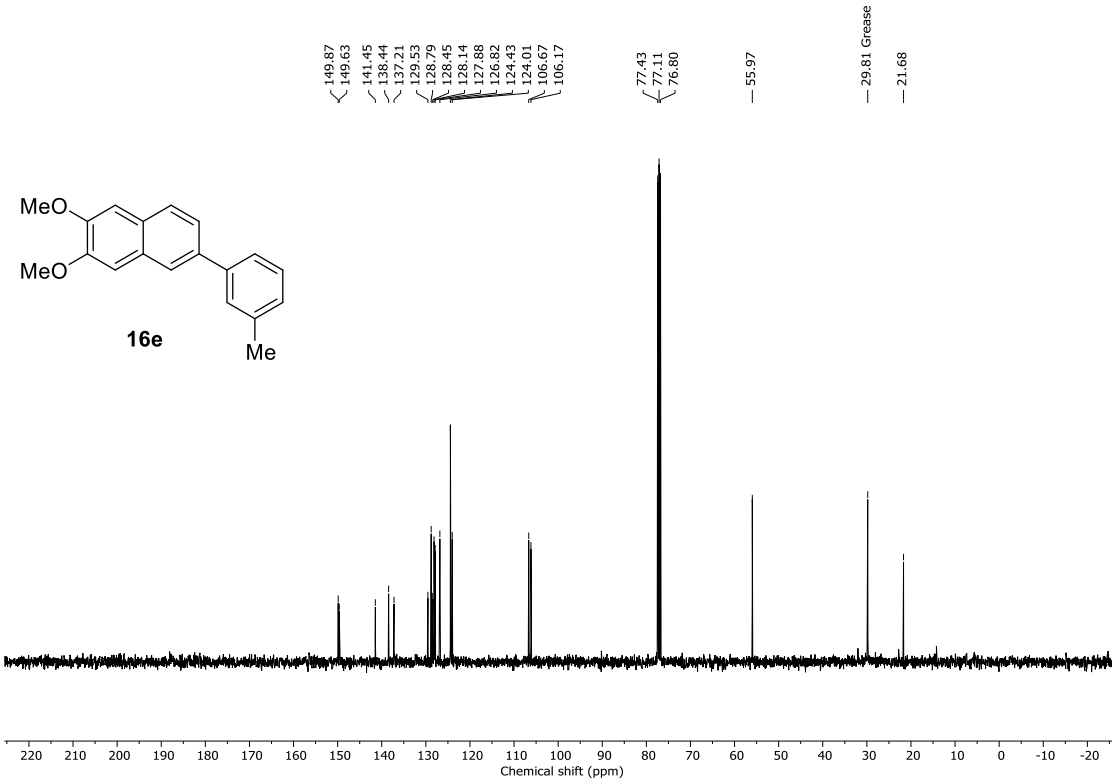
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **16d**.



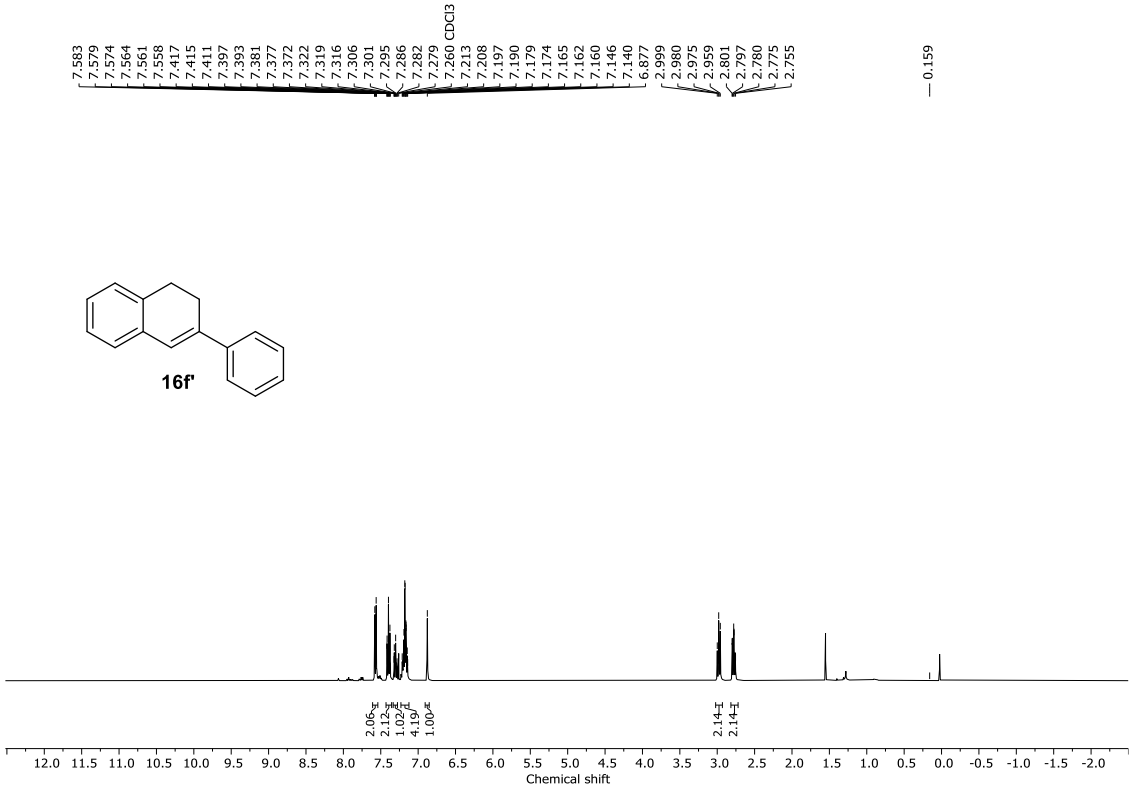
$^{13}C\{^{1}H\}$ NMR (100 MHz, $CDCl_3$) spectra of **16d**.



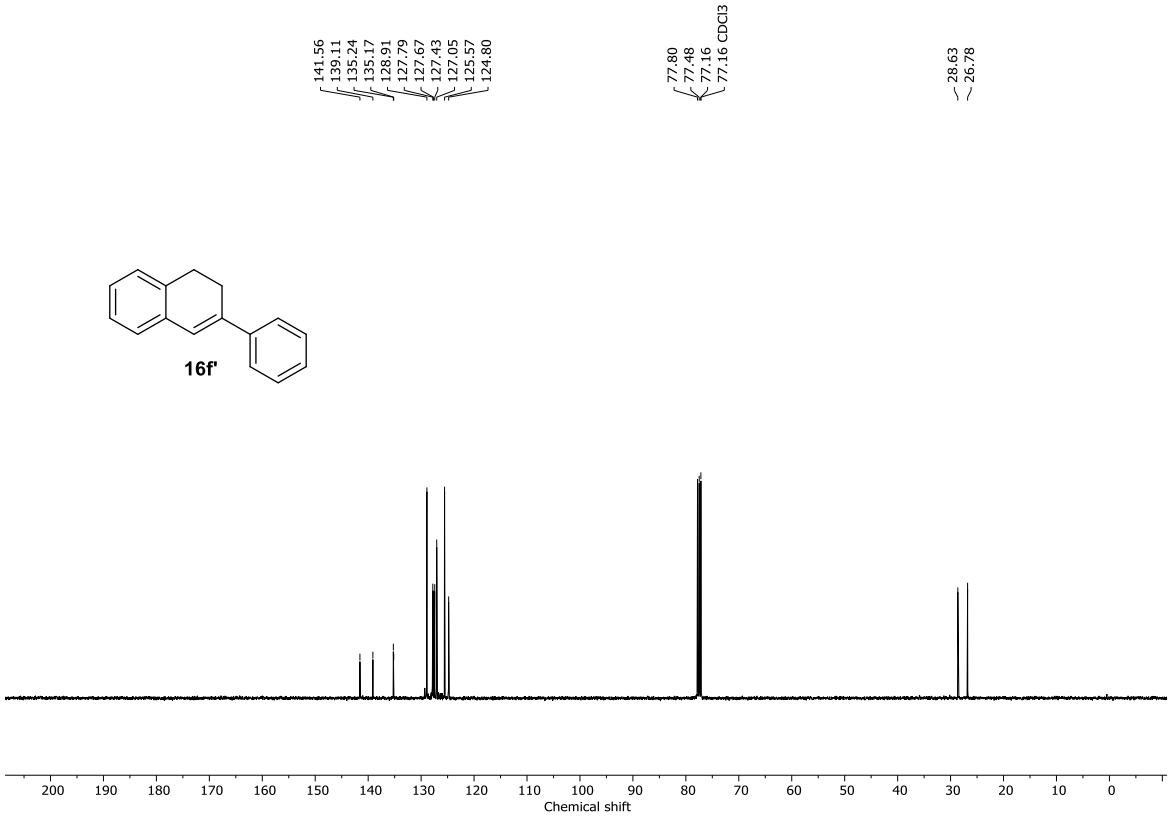
^{1}H NMR (400 MHz, $CDCl_3$) spectra of **16e**.



^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) of spectra **16e**.



^{1}H NMR (400 MHz, $CDCl_3$) spectra of **16f'**.



^{13}C{^{1}H} NMR (100 MHz, $CDCl_3$) spectra of **16f'**.