Electronic Supplementary Material (ESI) for Organic & Biomolecular Chemistry.

Supporting Information

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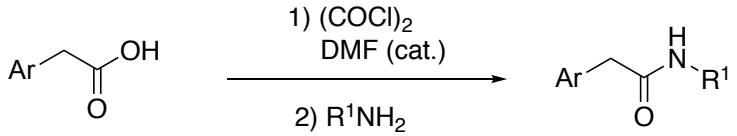
1. General

^{1}H NMR (400 MHz) spectra were recorded on a Bruker Avance 400 spectrometer in $CDCl_3$ [using $CDCl_3$ (for ^{1}H, δ = 7.26) as the internal standard]. ^{13}C NMR (100 MHz) spectra on a Bruker Avance 400 spectrometer in $CDCl_3$ [using $CDCl_3$ (for ^{13}C, δ = 77.0) as internal standard]. The following abbreviations were used to explain the multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, dd = doublet of doublet, ddd = doublet of doublet of doublet, dt = doublet of triplet, m = multiplet, s br = single broad. High-resolution mass spectra were obtained with a Water XEVO G2 Q-Tof (Waters Corporation). Melting points were uncorrected and were recorded on a Buchi B-54 melting point apparatus. Flash column chromatography was performed using Merck silica gel 60 with distilled solvents. Commercially available reagents were purchased from Energy Chemical, J & K Scientific, Adamas-beta and Sigma-Aldrich Co., Inc.

2. Synthesis of 2-arylacetamides 1

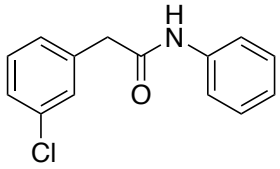
2-Arylacetamides **1a**,[1] **1b**,[1] **1c**,[1] **1d**,[2] **1e**,[1] **1f**,[3] **1g**,[2] **1h**,[3] **1i**,[4] **1j**,[2] **1l**,[1] **1m**,[5] **1p**,[6] **1q**,[7] **1r**,[8] **1t**,[1] **1u**,[4] and **1v**[9] were known compounds and prepared according to the literature procedures. 2-Arylacetamides **1k**, **1n**, **1o**, **1s**, **1w**, **1x**, **1y**, and **1z** were prepared by the procedure shown below.

General procedure A



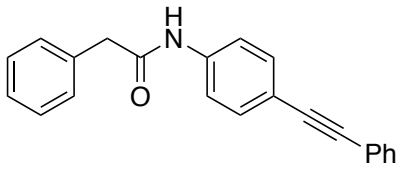
Carboxylic acid was suspended in dichloromethane and a few drops of DMF were added. The reaction vessel was connected to a manifold with a flow of nitrogen and oxalylchloride (2.4 equiv) was slowly added. Upon completion of gas evolution, amine (1.2 equiv) was added slowly and the reaction was stirred until completion (checked with TLC). The crude reaction mixture was extracted three times with HCl (1M) and the organic phase was dried over Na_2SO_4. The crude material was purified by flash column chromatography to give desired amide products.

2-(3-chlorophenyl)-*N*-phenylacetamide (1k)



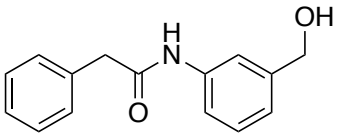
Following the general procedure A, **1k** was obtained in 52% yield (1.28 g, 5.23 mmol) from the reaction of 2-(3-chlorophenyl)acetic acid (1.71 g, 10.1 mmol) and aniline; white solid, mp 131-132°C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 3.59 (2H, s), 7.02 (1H, t, J = 7.2 Hz), 7.13-7.37 (9H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 44.1, 120.0, 124.6, 127.5, 127.7, 128.9, 129.5, 130.2, 134.8, 136.3, 137.4, 168.4; ESIHRMS: Found: m/z 246.0675. Calcd for $C_{14}H_{13}ClNO$: $(M+H)^+$ 246.0686.

2-phenyl-*N*-(4-(phenylethynyl)phenyl)acetamide (1n)



Following the general procedure A, **1n** was obtained in 30% yield (551 mg, 1.77 mmol) from the reaction of 4-(phenylethynyl)aniline (1.15 g, 5.9 mmol) and 2-phenylacetyl chloride; yellow solid, mp 190-192°C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 3.75 (2H, s), 7.15 (1H, s br), 7.32-7.37 (6H, m), 7.40-7.52 (8H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 44.9, 89.0, 89.1, 119.0, 119.3, 123.2, 127.8, 128.2, 128.3, 129.3, 129.5, 131.5, 132.3, 134.1, 137.5, 169.0; ESIHRMS: Found: m/z 334.1218. Calcd for $C_{22}H_{17}NNaO$: $(M+Na)^+$ 334.1208.

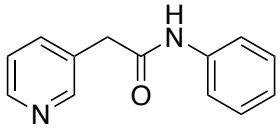
***N*-(3-(hydroxymethyl)phenyl)-2-phenylacetamide (1o)**



Following the general procedure A, **1o** was obtained in 82% yield (2.59 g, 10.76 mmol) from the reaction of (3-aminophenyl)methanol (1.61 g, 13.1 mmol) and 2-phenylacetyl chloride; white solid, mp 120-122°C; ^{1}H NMR (DMSO-d_6, 400 MHz) δ 3.63 (2H, s), 4.46 (2H, d, J = 6.0 Hz), 5.20 (1H, t, J = 6.0 Hz), 6.97 (1H, d, J = 7.6 Hz), 7.21-7.26 (2H, m), 7.30-7.36 (4H, m), 7.49 (1H, d, J = 8.0 Hz), 7.58 (1H, s), 10.15 (1H, s br); ^{13}C NMR (100 MHz, DMSO-d_6) δ 43.9, 63.3, 117.6, 117.9, 121.7,

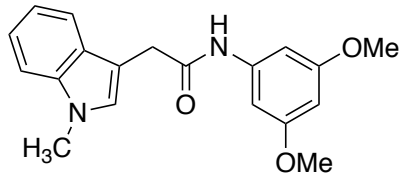
127.0, 128.76, 128.82, 129.5, 136.5, 139.5, 143.7, 169.5; ESIHRMS: Found: m/z 242.1178. Calcd for $C_{15}H_{16}NO2$: $(M+H)^+$ 242.1181.

_N_-phenyl-2-(pyridin-3-yl)acetamide (1s)



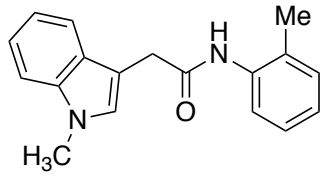
Following the general procedure A, **1s** was obtained in 72% yield (1.11 g, 5.22 mmol) from the reaction of 2-(pyridin-3-yl)acetic acid (1.00 g, 7.29 mmol) and aniline; white solid, mp 113-114°C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 3.63 (2H, s), 7.07 (1H, t, *J* = 7.6 Hz), 7.23-7.27 (3H, m), 7.48 (2H, dd, *J* = 7.6 Hz), 7.70 (1H, d, *J* = 7.6 Hz), 8.45 (1H, s), 8.50 (1H, s br), 8.82 (1H, d, *J* = 7.6 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 41.1, 120.1, 123.8, 124.5, 128.9, 130.9, 137.1, 137.8, 148.2, 149.9, 168.4; ESIHRMS: Found: m/z 235.0846. Calcd for $C_{13}H_{12}N_2NaO$: $(M+Na)^+$ 235.0847.

N-(3,5-dimethoxyphenyl)-2-(1-methyl-1H-indol-3-yl)acetamide (1w)



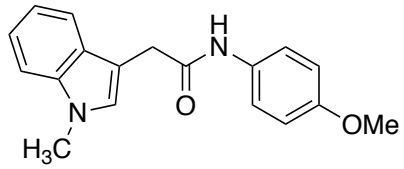
Following the general procedure A, **1w** was obtained in 35% yield (229.6 mg, 0.708 mmol) from the reaction of 2-(1-methyl-1H-indol-3-yl)acetic acid (389.1 mg, 2.024 mmol) and 3,5-dimethoxyaniline; pale yellow solid, mp 166-167 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 3.72 (6H, s), 3.82 (3H, s), 3.85 (2H, s), 6.18 (1H, t, *J* = 2.4 Hz), 6.60 (2H, d, *J* = 2.4 Hz), 7.07 (1H, s), 7.17 (1H, dd, *J* = 8.0, 8.0 Hz), 7.29(1H, dd, *J* = 7.6, 8.0 Hz), 7.36 (1H, d, *J* = 8.0 Hz), 7.41 (1H, s br), 7.59 (1H, d, *J* = 7.6 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 32.8, 34.5, 55.3, 96.5, 98.0, 106.8, 109.6, 118.7, 119.9, 122.4, 127.3, 128.5, 137.2, 139.4, 160.9, 169.8; ESIHRMS: Found: m/z 347.1378. Calcd for $C_{19}H_{20}N_2NaO_3$: $(M+H)^+$ 347.1372.

2-(1-methyl-1*H*-indol-3-yl)-*N*-(*o*-tolyl)acetamide (1x)



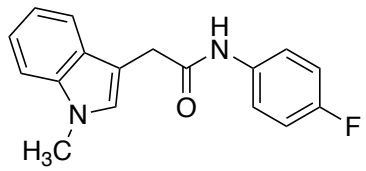
Following the general procedure A, **1x** was obtained in 38% yield (324.5 mg, 1.166 mmol) from the reaction of 2-(1-methyl-1H-indol-3-yl)acetic acid (579.1 mg, 3.013 mmol) and 2-methylaniline; white solid, mp 132-134 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.69 (3H, s), 3.81 (3H, s), 3.91 (2H, s), 6.95-7.03 (2H, m), 7.09 (1H, s), 7.28 (1H, ddd, *J* = 1.2, 7.6, 8.0 Hz), 7.34 (1H, s br), 7.36 (1H, d, *J* = 8.0 Hz), 7.62 (1H, d, *J* = 8.0 Hz), 7.85 (1H, d, *J* = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 16.9, 32.8, 34.2, 107.3, 109.6, 118.8, 119.9, 122.1, 122.5, 124.8, 126.6, 127.3, 128.4, 128.5, 130.2, 135.6, 137.3, 169.6; ESIHRMS: Found: m/z 301.1310. Calcd for $C_{18}H_{18}N_2NaO_2$: $(M+Na)^+$ 302.1317.

_N_-(4-methoxyphenyl)-2-(1-methyl-1_H_-indol-3-yl)acetamide (1y)



Following the general procedure A, **1y** was obtained in 87% yield (539.6 mg, 1.761 mmol) from the reaction of 2-(1-methyl-1H-indol-3-yl)acetic acid (417 mg, 2.008 mmol) and 4-methoxyaniline; pale yellow solid, mp 170-172 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 3.75 (3H, s), 3.82 (3H, s), 3.86 (2H, s), 6.78 (2H, d, *J* = 8.8 Hz), 7.07 (1H, s), 7.17 (1H, ddd, *J* = 1.2, 7.6, 8.0 Hz), 7.23 (2H, d, *J* = 8.8 Hz), 7.29 (1H, ddd, *J* = 1.2, 7.6, 8.0 Hz), 7.33 (1H, s br), 7.37 (1H, d, *J* = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 32.8, 34.2, 55.4, 107.1, 109.6, 113.9, 118.8, 119.9, 121.9, 122.3, 127.4, 128.6, 130.7, 137.2, 156.4, 169.6; ESIHRMS: Found: m/z 317.1258. Calcd for $C_{19}H_{18}N_2NaO_2$: $(M+Na)^+$ 317.1266.

_N_-(4-fluorophenyl)-2-(1-methyl-1_H_-indol-3-yl)acetamide (1z)

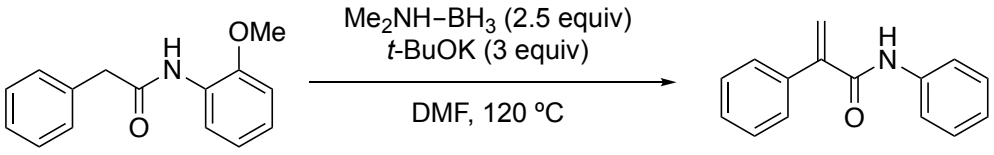


Following the general procedure A, **1z** was obtained in 33% yield (148 mg, 0.524

mmol) from the reaction of 2-(1-methyl-1H-indol-3-yl)acetic acid (585.1 mg, 3.044 mmol) and 4-fluoroaniline; pale yellow solid, mp 148-159 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 3.81 (3H, s), 3.85 (2H, s), 6.91(2H, dd, *J* = 8.8, 8.8 Hz), 7.06 (1H, s), 7.17 (1H, ddd, *J* = 1.2, 7.6, 8.0 Hz), 7.28-7.31 (3H, m), 7.36 (1H, d, *J* = 8.0 Hz), 7.48 (1H, s br), 7.58 (1H, d, *J* = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 32.8, 34.2, 106.8, 109.6, 115.4 (d, *J* = 22.3 Hz), 118.6, 119.9, 121.8 (d, *J* = 7.8 Hz), 122.4, 127.3, 128.6, 133.6 (d, *J* = 2.9 Hz), 137.2, 159.3 (d, *J* = 241.9 Hz) 169.7; ESIHRMS: Found: m/z 283.1246. Calcd for $C_{17}H_{16}FN_2O$: $(M+H)^+$ 283.1247.

3. C-H methylenation for the synthesis of 2-arylacrylamides 2

General procedure



1a **2a**

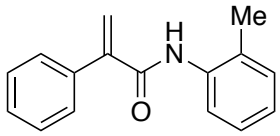
To an N_2 flushed, oven-dried glass tube with a magnetic stir bar, *N*,2-diphenylacetamide (105.0 mg, 0.497 mmol), Me_2NH-BH_3 (78.1 mg, 2.5 equiv.) and *t*-BuOK (167.4 mg, 3.0 equiv.), and DMF (5 mL) was added. The reaction mixture was stirred at 120 °C for 30 minutes. The reaction was then quenched with H_2O and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over Na_2SO_4, and concentrated. The crude residue was purified by flash column chromatography on silica gel (petroleum ether : ethyl acetate = 20 : 1) to afford **2a** (92.1 mg, 0.412 mmol) in 83% yield. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.62 (1H, s), 6.14 (1H, s), 7.02 (1H, t, *J* = 7.2 Hz), 7.21 (2H, dd, *J* = 7.6, 7.6 Hz), 7.31-7.35 (5H, m), 7.42-7.44 (3H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 119.9, 122.9, 124.5, 128.1, 128.7, 128.8, 128.9, 136.5, 137.6, 145.1, 165.3. The spectral data for this compound are in agreement with those reported in the literature.[10]

A gram scale reaction:

To an N_2 flushed, oven-dried glass tube with a magnetic stir bar, *N*,2-diphenylacetamide (1.677 g, 7.936 mmol), Me_2NH-BH_3 (1.169 g, 2.5 equiv.) and *t*-BuOK (2.675 g, 3.0 equiv.), and DMF (60 mL) was added. The reaction mixture

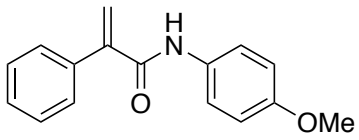
was stirred at 120 °C for 30 minutes. The reaction was then quenched with H_2O and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over Na_2SO_4, and concentrated. The crude residue was purified by flash column chromatography on silica gel (petroleum ether : ethyl acetate = 20 : 1) to afford **2a** (1.458 g, 0.412 mmol) in 82% yield

2-Phenyl-*N*-(*o*-tolyl)acrylamide (2b)



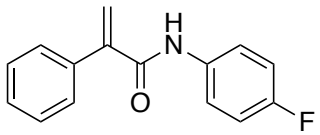
According to the typical procedure, the reaction of **1b** (116.5 mg, 0.517 mmol), Me_2NH-BH_3 (76.2 mg, 2.5 equiv.), and *t*-BuOK (174.3 mg, 3.0 equiv.) under nitrogen at 120 °C for 1.5 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 15 : 1) afforded 103.1 mg (84%) **2b** as a white solid. mp 86-87 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.91 (3H, s), 5.61 (1H, s), 6.26 (1H, s), 6.95 (1H, dd, *J* = 7.2, 7.2 Hz), 7.02 (1H, d, *J* = 7.2 Hz), 7.12 (1H, dd, *J* = 7.6, 8.0 Hz), 7.21 (1H, s br), 7.31-7.38 (5H, m), 7.93 (1H, d, *J* = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 17.3, 122.0, 123.6, 125.0, 126.7, 128.2, 128.3, 128.7, 128.8, 130.3, 135.6, 136.8, 144.9, 164.7; ESIHRMS: Found: m/z 238.1227. Calcd for $C_{16}H_{16}NO$: $(M+H)^+$ 238.1232.

***N*-(4-methoxyphenyl)-2-phenylacrylamide (2c)**



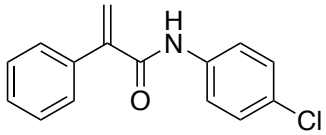
According to the typical procedure, the reaction of **1c** (124.7 mg, 0.516 mmol), Me_2NH-BH_3 (76.6 mg, 2.5 equiv.) and *t*-BuOK (174.6 mg, 3 equiv.) under nitrogen at 120 °C for 20 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 7 : 1) afforded 107.2 mg (82%) **2c**. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 3.78 (3H, s), 5.70 (1H, d, , *J* = 1.2 Hz), 6.25 (1H, d, , *J* = 1.2 Hz), 6.84 (2H, d, *J* = 8.8 Hz), 7.39-7.44 (8H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 55.4, 114.0, 121.7, 122.9, 128.2, 128.7, 128.8, 130.7, 136.7, 145.0, 156.5, 165.0. The spectral data for this compound are in agreement with those reported in the literature.[11]

***N*-(4-fluorophenyl)-2-phenylacrylamide (2d)**



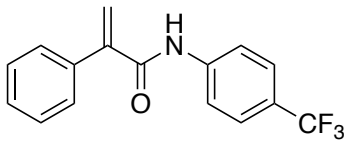
According to the typical procedure, the reaction of **1d** (114.2 mg, 0.498 mmol), Me_2NH-BH_3 (73.4 mg, 2.5 equiv.) and *t*-BuOK (167.6 mg, 3 equiv.) under nitrogen at 120 °C for 40 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 10 : 1) afforded 91.3 mg (76%) **2d** as a white solid, mp 138-139 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.73 (1H, s), 6.27 (1H, s), 7.00 (2H, dd, *J* = 8.4, 8.8 Hz), 7.43-7.49 (8H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 115.6 (d, *J* = 22.3 Hz), 121.7 (d, *J* = 7.7 Hz), 123.4, 128.2, 128.87, 128.94, 133.6 (d, *J* = 1.8 Hz), 136.5, 144.8, 159.5 (d, *J* = 242.7 Hz), 165.2; ESIHRMS: Found: m/z 264.0791. Calcd for $C_{15}H_{12}FNNaO$: $(M+Na)^+$ 264.0801.

***N*-(4-chlorophenyl)-2-phenylacrylamide (2e)**



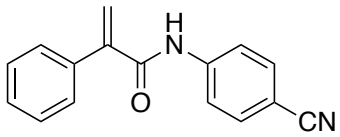
According to the typical procedure, the reaction of **2e** (122.5 mg, 0.499 mmol), Me_2NH-BH_3 (73.6 mg, 2.5 equiv.) and *t*-BuOK (168.2 mg, 3 equiv.) under nitrogen at 120 °C for 1 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 10 : 1) afforded 119.7 mg (94%) **2e**. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.73 (1H, d, *J* = 1.2 Hz), 6.29 (1H, d, *J* = 1.2 Hz), 7.27 (2H, d, *J* = 8.8 Hz), 7.43-7.48 (8H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 121.1, 123.8, 128.3, 128.9, 129.0, 129.6, 136.2, 136.4, 144.8, 165.1. The spectral data for this compound are in agreement with those reported in the literature.[12]

2-phenyl-*N*-(4-(trifluoromethyl)phenyl)acrylamide (2f)



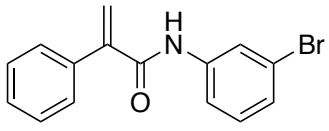
According to the typical procedure, the reaction of **1f** (140.5 mg, 0.503 mmol), Me_2NH-BH_3 (74.0 mg, 2.5 equiv.) and *t*-BuOK (169.7 mg, 3 equiv.) under nitrogen at 120 °C for 20 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 10 : 1) afforded 107.1 mg (73%) **2f** as a pale yellow solid. mp 160-162 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.77 (1H, d, *J* = 0.8 Hz), 6.33 (1H, d, *J* = 0.8 Hz), 7.42-7.45 (5H, m), 7.53 (1H, s br), 7.56 (2H, d, *J* = 8.4 Hz), 7.65 (2H, d, *J* = 8.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 119.5, 124.0 (q, *J* = 269.9 Hz), 124.3, 126.2 (q, *J* = 3.8 Hz), 128.3, 129.07, 129.09, 136.3, 140.6, 144.6, 165.3; ESIHRMS: Found: m/z 292.0955. Calcd for $C_{16}H_{13}F_3NO$: $(M+H)^+$ 292.0949.

***N*-(4-cyanophenyl)-2-phenylacrylamide (2g)**



According to the typical procedure, the reaction of **1g** (117.7 mg, 0.498 mmol), Me_2NH-BH_3 (73.2 mg, 2.5 equiv.) and *t*-BuOK (167.6 mg, 3 equiv.) under nitrogen at 120 °C for 0.5 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 5 : 1) afforded 69.2 mg (56%) **2g** as a yellow solid, mp 99-101 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.77-5.79 (1H, m), 6.30-6.31 (1H, m), 7.40-7.44 (5H, m), 7.58 (2H, d, *J* = 8.8 Hz), 7.64-7.66 (3H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 107.1, 118.7, 119.7, 123.5, 127.9, 128.9, 129.0, 133.0, 125.9, 141.7, 144.6, 165.8; ESIHRMS: Found: m/z 271.0836. Calcd for $C_{16}H_{12}N_2NaO$: $(M+Na)^+$ 271.0847.

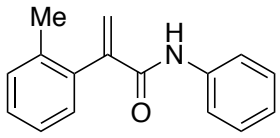
***N*-(3-bromophenyl)-2-phenylacrylamide (2h)**



According to the typical procedure, the reaction of **1h** (144.5 mg, 0.498 mmol), Me_2NH-BH_3 (73.3 mg, 2.5 equiv.) and *t*-BuOK (167.6 mg, 3 equiv.) under nitrogen at 120 °C for 50 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 10 : 1) afforded 133.4 mg (89%) **2h** as a pale yellow solid, mp 134-135 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.73 (1H, s), 6.26 (1H,

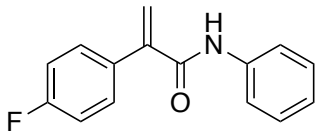
s), 7.16 (1H, dd, *J* = 8.0, 8.0 Hz), 7.24 (1H, d, *J* = 8.0 Hz), 7.42-7.44 (6H, m), 7.49 (1H, s br), 7.76 (1H, s); ^{13}C NMR (100 MHz, $CDCl_3$) δ 118.4, 122.5, 122.8, 123.7, 127.5, 128.2, 128.9, 129.0, 130.2, 136.3, 138.8, 144.7, 165.2; ESIHRMS: Found: m/z 302.0185. Calcd for $C_{15}H_{13}{}^{79}BrNO$: $(M+H)^+$ 302.0181.

_N_-phenyl-2-(_o_-tolyl)acrylamide (2i)



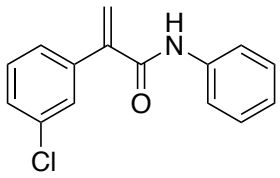
According to the typical procedure, the reaction of **1i** (112.7 mg, 0.500 mmol), Me_2NH-BH_3 (75.8 mg, 2.5 equiv.) and *t*-BuOK (172.6 mg, 3 equiv.) under nitrogen at 120 °C for 5 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 20 : 1) afforded 98.7 mg (83%) **2i** as a pale yellow solid, mp 97-99 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 2.29 (3H, s), 5.58 (1H, d, *J* = 1.6 Hz), 6.60 (1H, d, *J* = 1.6 Hz), 7.08 (1H, dd, *J* = 7.6, 7.6 Hz), 7.14 (1H, s br), 7.24-7.29 (1H, m), 7.32-7.34 (1H, m), 7.41-7.44 (2H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 19.7, 119.9, 124.5, 126.1, 126.5, 128.9, 129.0, 130.0, 130.6, 136.4, 136.7, 137.5, 143.9, 164.0; ESIHRMS: Found: m/z 238.1224. Calcd for $C_{16}H_{16}NO$: $(M+H)^+$ 238.1232.

2-(4-fluorophenyl)-_N_-phenylacrylamide (2j)



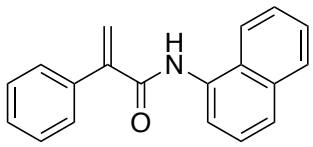
According to the typical procedure, the reaction of **1j** (119.3 mg, 0.520 mmol), Me_2NH-BH_3 (76.4 mg, 2.5 equiv.) and *t*-BuOK (186.1 mg, 3 equiv.) under nitrogen at 120 °C for 40 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 10 : 1) afforded 72.7 mg (58%) **2j** as a pale yellow solid. mp 144-145 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.72 (1H, s), 6.21 (1H, s), 7.10-7.15 (3H, m), 7.33 (2H, dd, *J* = 8.0, 8.4 Hz), 7.37 (1H, s br), 7.42-7.46 (2H, m), 7.53 (2H, d, *J* = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ; δ 115.8 (d, *J* = 21.3 Hz), 119.9, 122.3, 124.7, 129.0, 129.9 (d, *J* = 8.2 Hz), 132.5 (d, *J* = 3.4 Hz), 137.5, 144.2, 162.9 (d, *J* = 247.5 Hz), 165.4; ESIHRMS: Found: m/z 264.0792. Calcd for $C_{15}H_{12}FNNaO$: $(M+Na)^+$ 264.0801.

2-(3-chlorophenyl)-*N*-phenylacrylamide (2k)



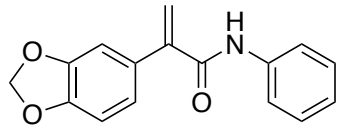
According to the typical procedure, the reaction of **1k** (123.7 mg, 0.503 mmol), Me_2NH-BH_3 (75.6 mg, 2.5 equiv.) and *t*-BuOK (170.5 mg, 3 equiv.) under nitrogen at 120 °C for 10 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 4 : 1) afforded 106.5 mg (82%) **2k** as a white solid, ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.75 (1H, s), 6.22 (1H, s), 7.13 (1H, dd, *J* = 7.2, 7.6 Hz), 7.31-7.38 (5H, m), 7.43 (1H, s br), 7.45-7.46 (1H, m), 7.53 (2H, d, *J* = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 120.0, 123.4, 124.8, 126.2, 128.3, 128.95, 129.04, 130.1, 134.8, 137.4, 138.2, 144.1, 164.8. The spectral data for this compound are in agreement with those reported in the literature.[13]

***N*-(naphthalen-1-yl)-2-phenylacrylamide (2l)**



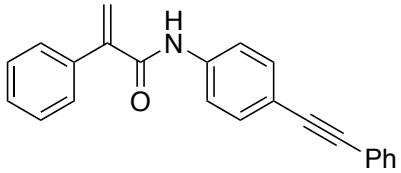
According to the typical procedure, the reaction of **1l** (130.8 mg, 0.500 mmol), Me_2NH-BH_3 (73.6 mg, 2.5 equiv.) and *t*-BuOK (169.1 mg, 3 equiv.) under nitrogen at 120 °C for 3 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 8 : 1) afforded 104.9 mg (77%) **2l**. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.78 (1H, s), 6.44 (1H, s), 7.41-7.51 (7H, m), 7.55 (2H, d, *J* = 6.4 Hz), 7.67 (1H, d, *J* = 8.0 Hz), 7.82-7.84 (2H, m), 8.13 (1H, d, *J* = 7.6 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 120.0, 124.0, 125.7, 125.8, 125.9, 126.3, 128.5, 128.8, 128.9, 129.0, 132.1, 134.0, 136.9, 144.9, 165.3. The spectral data for this compound are in agreement with those reported in the literature.[12]

2-(benzo[*d*][1,3]dioxol-5-yl)-*N*-phenylacrylamide (2m)



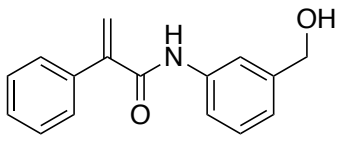
According to the typical procedure, the reaction of **1m** (127.8 mg, 0.501 mmol), Me_2NH-BH_3 (74 mg, 2.5 equiv.) and *t*-BuOK (169.1 mg, 3 equiv.) under nitrogen at 120 °C for 2 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 8 : 1) afforded 57 mg (43%) **2m** as a yellow solid, mp 130-132 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.54 (1H, s), 5.90 (2H, s), 6.03 (1H, s), 6.74 (1H, d, *J* = 7.6 Hz), 6.82-6.83 (2H, m), 7.03 (1H, t, *J* = 7.6 Hz), 7.22 (2H, dd, *J* = 7.6, 7.6 Hz), 7.45 (2H, d, *J* = 7.6 Hz), 7.52 (1H, s br); ^{13}C NMR (100 MHz, $CDCl_3$) δ 101.3, 108.4, 108.5, 119.9, 121.8, 122.0, 124.5, 128.9, 130.3, 137.6, 144.7, 148.0, 148.1, 165.5; ESIHRMS: Found: m/z 268.0973. Calcd for $C_{16}H_{14}NO_3$: $(M+H)^+$ 268.0974.

2-phenyl-*N*-(4-(phenylethynyl)phenyl)acrylamide (2n)



According to the typical procedure, the reaction of **1n** (158.7 mg, 0.510 mmol), Me_2NH-BH_3 (76.4 mg, 2.5 equiv.) and *t*-BuOK (172.3 mg, 3 equiv.) under nitrogen at 120 °C for 0.5 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 8 : 1) afforded 75.8 mg (46%) **2n** as a white solid,, mp 177-178 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.75 (1H, d, *J* = 1.2 Hz), 6.34 (1H, d, *J* = 1.2 Hz), 7.33-7.35 (3H, m), 7.42-7.54 (11H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 89.0, 89.2, 119.2, 119.5, 123.2， 123.9， 128.2, 128.3, 128.9, 129.0, 131.5, 132.4, 136.5, 137.6, 144.8, 165.0; ESIHRMS: Found: m/z 324.1394. Calcd for $C_{23}H_{18}NO$: $(M+H)^+$ 324.1388.

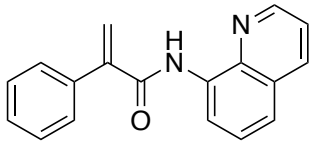
***N*-(3-(hydroxymethyl)phenyl)-2-phenylacrylamide (2o)**



According to the typical procedure, the reaction of **1o** (119.7 mg, 0.496 mmol), Me_2NH-BH_3 (73.4 mg, 2.5 equiv.) and *t*-BuOK (226.0 mg, 4 equiv.) under nitrogen at 120 °C for 3 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 2 : 1) afforded 67.4 mg (54%) **2o** as a white solid, mp 132-

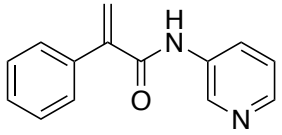
134 °C; ^{1}H NMR (DMSO-d_6, 400 MHz) δ 4.53 (2H, d, J = 5.6 Hz), 5.78 (1H, s), 6.00 (1H, s), 7.10 (1H, d, J = 7.6 Hz), 7.33 (1H, t, J = 7.6 Hz), 7.41-7.48 (3H, m), 7.55 (2H, d, J = 8.0 Hz), 7.78 (1H, s), 10.31 (1H, s br); ^{13}C NMR (101 MHz, DMSO-d_6) δ 63.3, 118.0, 118.5, 118.8, 122.2, 127.3, 128.7, 128.7, 128.9, 136.8, 139.4, 143.6, 145.7, 167.8; ESIHRMS: Found: m/z 254.1189. Calcd for $C_{16}H_{16}NO_2$: $(M+H)^+$ 254.1181.

2-phenyl-*N*-(quinolin-8-yl)acrylamide (2p)



According to the typical procedure, the reaction of **1p** (132.1 mg, 0.504 mmol), Me_2NH-BH_3 (74.1 mg, 2.5 equiv.) and *t*-BuOK (170.4 mg, 3 equiv.) under nitrogen at 120 °C for 40 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 8 : 1) afforded 92.7 mg (67%) **2p**; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.83 (1H, d, J = 0.8 Hz), 6.32 (1H, d, J = 0.8 Hz), 7.38 (1H, dd, J = 4.0, 8.0 Hz), 7.41-7.47 (3H, m), 7.50 (1H, dd, J = 1.2, 8.0 Hz), 7.53-7.58 (3H, m), 8.11 (1H, dd, J = 1.6, 8.0 Hz), 8.63 (1H, dd, J = 1.6, 8.0 Hz), 8.89 (1H, dd, J = 1.2, 8.0 Hz), 10.3 (1H, s br); ^{13}C NMR (100 MHz, $CDCl_3$) δ 116.6, 121.5, 121.8, 122.2, 127.3, 127.8, 128.3, 128.55, 128.63, 134.4, 136.1, 136.7, 138.6, 145.8, 148.2, 165.8. The spectral data for this compound are in agreement with those reported in the literature.[14]

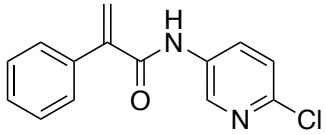
2-phenyl-*N*-(pyridin-3-yl)acrylamide (2q)



According to the typical procedure, the reaction of **1q** (107.9 mg, 0.508 mmol), Me_2NH-BH_3 (74.9 mg, 2.5 equiv.) and *t*-BuOK (170.4 mg, 3 equiv.) under nitrogen at 120 °C for 2 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 1 : 2) afforded 60.1 mg (53%) **2q** as a yellow solid, ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.71 (1H, s), 6.15 (1H, s), 7.21 (1H, dd, J = 4.8, 8.4 Hz), 7.36-7.38 (5H, m), 8.16 (1H, d, J = 8.0 Hz), 8.24-8.25 (2H, m), 8.46 (1H, d, J = 2.4 Hz);

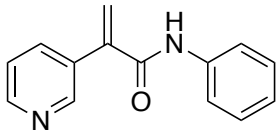
^{13}C NMR (100 MHz, $CDCl_3$) δ 122.9, 123.5, 127.3, 127.9, 128.8, 134.7, 136.1, 141.2, 144.6, 145.2, 166.2. The spectral data for this compound are in agreement with those reported in the literature.[15]

***N*-(6-chloropyridin-3-yl)-2-phenylacrylamide (2r)**



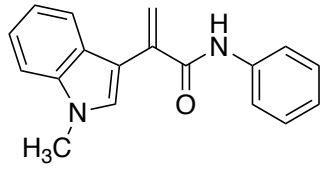
According to the typical procedure, the reaction of **1r** (125.7 mg, 0.509 mmol), Me_2NH-BH_3 (75.0 mg, 2.5 equiv.) and *t*-BuOK (171.8 mg, 3 equiv.) under nitrogen at 120 °C for 1 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 5 : 1) afforded 79.6 mg (60%) **2r** as white solid, mp 141-142 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.75 (1H, d, *J* = 0.8 Hz), 6.25 (1H, d, *J* = 0.8 Hz), 7.27 (1H, d, *J* = 8.4 Hz), 7.38-7.41 (5H, m), 7.82 (1H, s br), 8.15 (1H, dd, *J* = 2.8, 8.4 Hz), 8.31 (1H, d, *J* = 2.8 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 123.9, 124.1, 128.0, 128.97, 129.00, 130.2, 133.7, 136.0, 140.8, 144.3, 146.0, 165.8; ESIHRMS: Found: m/z 259.0636. Calcd for $C_{14}H_{12}ClN_2O$: $(M+H)^+$ 259.0638.

***N*-phenyl-2-(pyridin-3-yl)acrylamide (2s)**



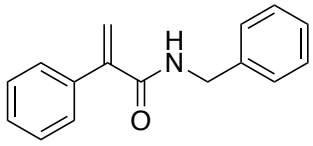
According to the typical procedure, the reaction of **1s** (109.5 mg, 0.516 mmol), Me_2NH-BH_3 (75.7 mg, 2.5 equiv.) and *t*-BuOK (174.0 mg, 3 equiv.) under nitrogen at 120 °C for 1 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 1 : 1) afforded 66.4 mg (57%) **2s** as a white solid. mp 101-102 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.81 (1H, s), 6.18 (1H, s), 7.14 (1H, t, *J* = 7.6 Hz), 7.30-7.35 (3H, m), 7.56 (2H, d, *J* = 7.6 Hz), 8.00 (1H, ddd, *J* = 1.6, 2.0, 8.0 Hz), 7.91 (1H, s br), 8.57 (1H, d, J = 4.0 Hz), 8.65 (1H, d, *J* = 1.6 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 120.1, 122.8, 123.3, 124.8, 129.0, 132.4, 135.4, 137.5, 142.5, 148.6, 149.5, 165.2; ESIHRMS: Found: m/z 247.0853. Calcd for $C_{14}H_{12}N_2NaO$: $(M+Na)^+$ 247.0847.

2-(1-methyl-1*H*-indol-3-yl)-*N*-phenylacrylamide (2t)



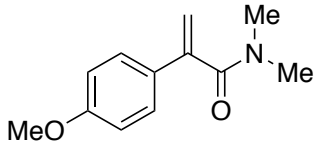
According to the typical procedure, the reaction of **1t** (132.7 mg, 0.502 mmol), Me_2NH-BH_3 (74.6 mg, 2.5 equiv.) and *t*-BuOK (167.8 mg, 3 equiv.) under nitrogen at 120 °C for 1.5 hours after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 5 : 1) afforded 83.2 mg (60%) **2t** as a pale yellow solid, mp 154-156 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 3.84 (3H, s), 5.81 (1H, d, *J* = 0.8 Hz), 6.30 (1H, d, *J* = 0.8 Hz), 7.10 (1H, ddd, *J* = 1.2, 1.2, 7.6 Hz), 7.20 (1H, ddd, *J* = 1.2, 6.8, 7.2 Hz), 7.29-7.33 (4H, m), 7.39 (1H, d, *J* = 8.0 Hz), 7.50 (2H, d, *J* = 8.0 Hz), 7.71 (1H, ddd, *J* = 0.8, 0.8, 8.0 Hz), 7.81 (1H, s br); ^{13}C NMR (100 MHz, $CDCl_3$) δ 33.0, 109.8, 110.9, 119.9, 120.5, 121.1, 122.5, 124.3, 126.3, 128.9, 129.1, 137.1, 137.8, 165.9; ESIHRMS: Found: m/z 299.1147. Calcd for $C_{18}H_{16}N_2NaO$: $(M+Na)^+$ 299.116.

_N_-benzyl-2-phenylacrylamide (2u)



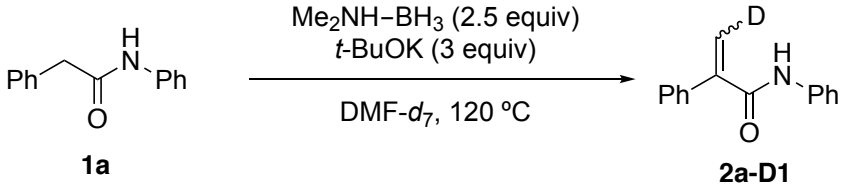
According to the typical procedure, the reaction of **1u** (115.1 mg, 0.511 mmol), Me_2NH-BH_3 (76.4 mg, 2.5 equiv.) and *t*-BuOK (174.5 mg, 3 equiv.) under nitrogen at 120 °C for 20 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 5 : 1) afforded 91.5 mg (75%) **2u**. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 4.53 (2H, d, *J* = 5.6 Hz), 5.63 (1H, d, *J* = 1.2 Hz), 6.04 (1H, s br), 6.19 (1H, d, *J* = 1.2 Hz), 7.25-7.27 (3H, m), 7.30-7.39 (7H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 43.8, 122.4, 127.4, 127.6, 128.1, 128.5, 128.6, 128.7, 136.8, 138.0, 144.5, 167.1. The spectral data for this compound are in agreement with those reported in the literature.[16]

2-(4-methoxyphenyl)-_N_,_N_-dimethylacrylamide (2v)



According to the typical procedure, the reaction of **1v** (61.2 mg, 0.317 mmol), Me_2NH-BH_3 (46.5 mg, 2.5 equiv.) and *t*-BuOK (107.1 mg, 3 equiv.) under nitrogen at 120 °C for 40 minutes after flash column chromatography on silica gel (petroleum ether : ethyl acetate = 5 : 1) afforded 19.4 mg (30%) **2v**. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 2.89 (3H, s), 3.06 (3H, s), 3.80 (3H, s), 5.22 (1H, s), 5.61 (1H, s), 6.86 (2H, d, *J* = 8.8 Hz), 7.33 (2H, d, *J* = 8.8 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 34.6, 38.5, 55.2, 111.8, 114.1, 126.9, 128.1, 144.5, 159.8, 171.1. The spectral data for this compound are in agreement with those reported in the literature.[17]

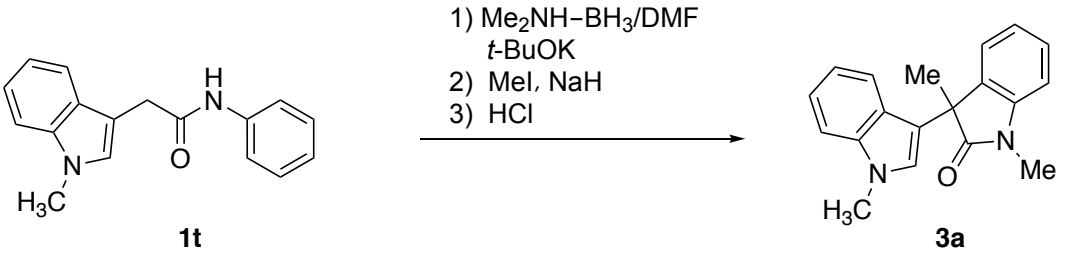
4. Synthesis of mono-deuterium labeled 2-phenylacrylamide



To an N_2 flushed, oven-dried glass tube with a magnetic stir bar, *N*,2-diphenylacetamide (42.3 mg, 0.200 mmol), Me_2NH-BH_3 (29.5 mg, 2.5 equiv.) and *t*-BuOK (67.7 mg, 3.0 equiv.), and DMF-d_7 (0.6 mL) was added. The reaction mixture was stirred at 120 °C for 30 minutes. The reaction was then quenched with H_2O and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over Na_2SO_4, and concentrated. The crude residue was purified by flash column chromatography on silica gel (petroleum ether : ethyl acetate = 20 : 1) to afford **2a-D$_1$** (31 mg, 0.138 mmol) in 69% yield. ^{1}H NMR ($CDCl_3$, 400 MHz) δ 5.71 (0.5H, s), 6.26 (0.5H, s), 7.12 (1H, t, *J* = 7.2 Hz), 7.32 (2H, dd, *J* = 7.6, 7.6 Hz), 7.41-7.45 (6H, m), 7.52 (2H, d, *J* = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 119.9, 122.9 (t, *J* = 24.3 Hz), 124.5, 128.2, 128.78, 128.88, 128.93, 136.5, 137.6, 144.9, 165.2; ESIHRMS: Found: m/z 247.0958. Calcd for $C_{15}H_{12}DNNaO$: $(M+Na)^+$ 247.0957.

5. One-pot synthesis of 3-indolyl-3-methyl oxindoles

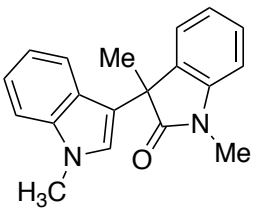
General procedure



1t **3a**

To an N_2 flushed, oven-dried glass tube with a magnetic stir bar, **1t** (264.3 mg, 0.526 mmol), Me_2NH-BH_3 (77.4 mg, 2.5 equiv.) and *t*-BuOK (173.1 mg, 3.0 equiv.), and DMF (5 mL) was added. The reaction mixture was stirred at 120 °C for 3 hours. The reaction was then quenched with H_2O and extracted with ethyl acetate. The combined organic layers were washed with brine, dried over Na_2SO_4, and concentrated. The crude residue was dissolved in THF (5 mL), and then was treated with NaH (31.8 mg, 1.5 equiv.) at 0 °C for 30 minutes. After that, MeI (82 μL, 2.5 equiv.) was added. The reaction mixture was stirred for additional 3 h, and then was quenched with NH_4Cl. The aqueous layer was extracted with ethyl acetate, and the combined organic layers were washed with brine, dried over Na_2SO_4, and concentrated. The resulting residue was treated with HCl (1M, 4 equiv.) in CH_3CN (5 mL) at 100 °C overnight. After evaporation of solvent, the residue was diluted with saturated $NaHCO_3$ and ethyl acetate. The aqueous layer was extracted with ethyl acetate, and the combined organic layers were washed with brine, dried over Na_2SO_4, and concentrated. Purification by flash column chromatography on silica gel (petroleum ether : ethyl acetate = 4 : 1) to afford **3a** (116.4 mg, 0.401 mmol) in 76% yield.

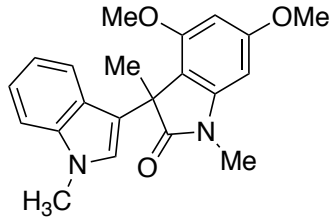
1,3-dimethyl-3-(1-methyl-1*H*-indol-3-yl)indolin-2-one (3a)



^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.85 (3H, s), 3.29 (3H, s), 3.73 (3H, s), 6.86-6.93 (2H, m), 7.01-7.06 (2H, m), 7.12-7.14 (2H, m), 7.16 (1H, d, *J* = 7.6 Hz), 7.16 (1H,

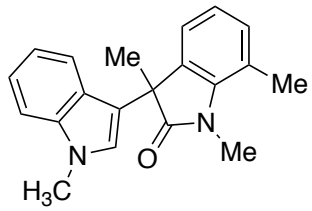
d, J = 8.0 Hz), 7.32 (1H, dd, J = 7.6, 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 23.4, 26.4, 32.7, 47.9, 108.0, 109.3, 114.3, 119.1, 119.9, 121.6, 122.7, 123.8, 125.7, 127.3, 127.9, 135.0, 137.5, 143.0, 179.6. The spectral data for this compound are in agreement with those reported in the literature.[18]

4,6-dimethoxy-1,3-dimethyl-3-(1-methyl-1*H*-indol-3-yl)indolin-2-one (3b)



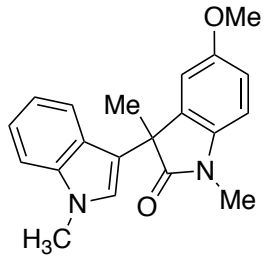
According to the typical procedure, the reaction of **1w** (101.4 mg, 0.312 mmol) gave **3b** (68.8 mg) in 63% yield as white solid, mp 177-178 °C; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.89 (3H, s), 3.22 (3H, s), 3.61 (3H, s), 3.72 (3H, s), 3.87 (3H, s), 6.16 (1H, d, J = 2.0 Hz), 6.22 (1H, d, J = 2.0 Hz), 6.88 (1H, ddd, J = 1.2, 6.8, 6.8 Hz), 7.00-7.02 (2H, m), 7.10 (1H, ddd, J = 1.2, 6.8, 6.8 Hz), 7.20 (1H, d, J = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 21.0, 26.5, 32.7, 47.3, 55.3, 55.5, 88.3, 92.5, 109.1, 112.4, 113.8, 118.8, 119.9, 121.1, 125.9, 127.3, 137.3, 145.0, 156.9, 161.4, 180.3; ESIHRMS: Found: m/z 373.11530. Calcd for $C_{17}H_{16}NO$: $(M+H)^+$ 373.1528.

1,3,7-trimethyl-3-(1-methyl-1*H*-indol-3-yl)indolin-2-one (3c)



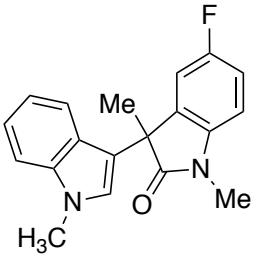
According to the typical procedure, the reaction of **1x** (84.9 mg, 0.305 mmol) gave **3c** (66.9 mg) in 57% yield as yellow viscous liquid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.91 (3H, s), 2.72 (3H, s), 3.63 (3H, s), 3.74 (3H, s), 6.99 (1H, dd, J = 7.2, 7.6 Hz), 7.00 (1H, d, J = 7.2 Hz), 7.05-7.11 (4H, m), 7.20 (1H, ddd, J = 1.2, 6.8, 7.2 Hz), 7.28 (1H, d, J = 8.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 19.0, 23.7, 29.7, 32.5, 47.2, 109.1, 114.5, 118.9, 119.5, 119.9, 121.4, 121.7, 122.4, 125.7, 127.2, 131.5, 135.5, 137.4, 140.6, 180.2; ESIHRMS: Found: m/z 305.1658. Calcd for $C_{20}H_{21}N_2O$: $(M+H)^+$ 305.1654.

5-methoxy-1,3-dimethyl-3-(1-methyl-1*H*-indol-3-yl)indolin-2-one (3d)



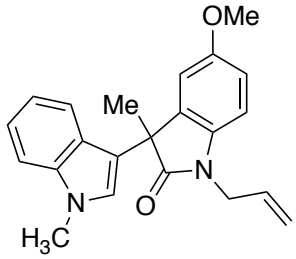
According to the typical procedure, the reaction of **1y** (120.5 mg, 0.499 mmol) gave **3d** (86.3) mg in 65% yield as yellow viscous liquid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.83 (3H, s), 3.26 (3H, s), 3.69 (3H, s), 3.70 (3H, s), 6.77 (1H, d, *J* = 2.0 Hz), 6.80-6.84 (2H, m), 6.88 (1H, dd, *J* = 7.2, 8.0 Hz), 6.92 (1H, d, *J* = 8.0 Hz), 7.06 (1H, s), 7.11 (1H, dd, 7.2, 7.6 Hz), 7.20-7.22 (1H, m); ^{13}C NMR (100 MHz, $CDCl_3$) δ 23.3, 26.4, 32.6, 48.2, 55.5, 108.3, 109.2, 111.0, 112.1, 114.2, 119.0, 119.8, 121.5, 125.7, 127.2, 136.3, 136.4, 137.4, 156.0, 179.2; ESIHRMS: Found: m/z 343.1417. Calcd for $C_{20}H_{20}N_2NaO_2$: $(M+H)^+$ 343.1422.

5-fluoro-1,3-dimethyl-3-(1-methyl-1*H*-indol-3-yl)indolin-2-one (3e)



According to the typical procedure, the reaction of **1y** (142.0 mg, 0.503 mmol) gave **3e** (93.2) mg in 60% yield as yellow viscous liquid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.90 (3H, s), 3.33 (3H, s), 3.75 (3H, s), 6.89-6.97 (1H, m), 7.05 (1H, ddd, *J* = 2.4, 8.4, 9.2 Hz), 7.14 (1H, s), 7.20 (1H, ddd, *J* = 2.0, 6.0, 6.4 Hz), 7.28 (1H, d, *J* = 8.4 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 23.2, 26.5, 32.6, 48.2, 108.4 (d, *J* = 8 Hz), 109.3, 111.7 (d, *J* = 27.3 Hz), 113.6, 114.0 (d, *J* = 23.4 Hz), 119.1, 119.5, 121.6, 125.5, 127.3, 136.6 (d, *J* = 7.6 Hz), 137.4, 138.8 (d, *J* = 1.8 Hz), 159.3 (d, *J* = 239.2 Hz), 179.2; ESIHRMS: Found: m/z 309.1394. Calcd for $C_{19}H_{18}FN_2O$: $(M+H)^+$ 309.1403.

1-allyl-5-methoxy-3-methyl-3-(1-methyl-1*H*-indol-3-yl)indolin-2-one (3f)



According to the typical procedure (in the alkylation step, allyl iodide was used instead of methyl iodide), the reaction of **1y** (94.9 mg, 0.322 mmol) gave **3f** (61.8 mg) in 55% yield as yellow viscous liquid; ^{1}H NMR ($CDCl_3$, 400 MHz) δ 1.89 (3H, s), 3.73 (3H, s), 3.75 (3H, s), 4.42-4.44 (2H, m), 5.25-5.33 (2H, m), 5.87-5.93 (1H, m), 6.81-6.85 (2H, m), 6.91-6.93 (2H, m), 6.97 (1H, d, J = 7.6 Hz), 7.12 (1H, s), 7.16 (1H, ddd, J = 1.2, 8.0, 8.0 Hz), 7.26 (1H, d, J = 8.0 Hz); ^{13}C NMR (100 MHz, $CDCl_3$) δ 23.7, 32.7, 42.5, 48.3, 55.6, 109.2, 109.3, 111.1, 112.2, 114.2, 117.6, 119.0, 120.0, 121.5, 125.8, 127.3, 131.8, 135.6, 136.3, 137.5, 156.0, 179.0; ESIHRMS: Found: m/z 369.1582. Calcd for $C_{22}H_{22}N_2NaO$: $(M+Na)^+$ 369.1579.

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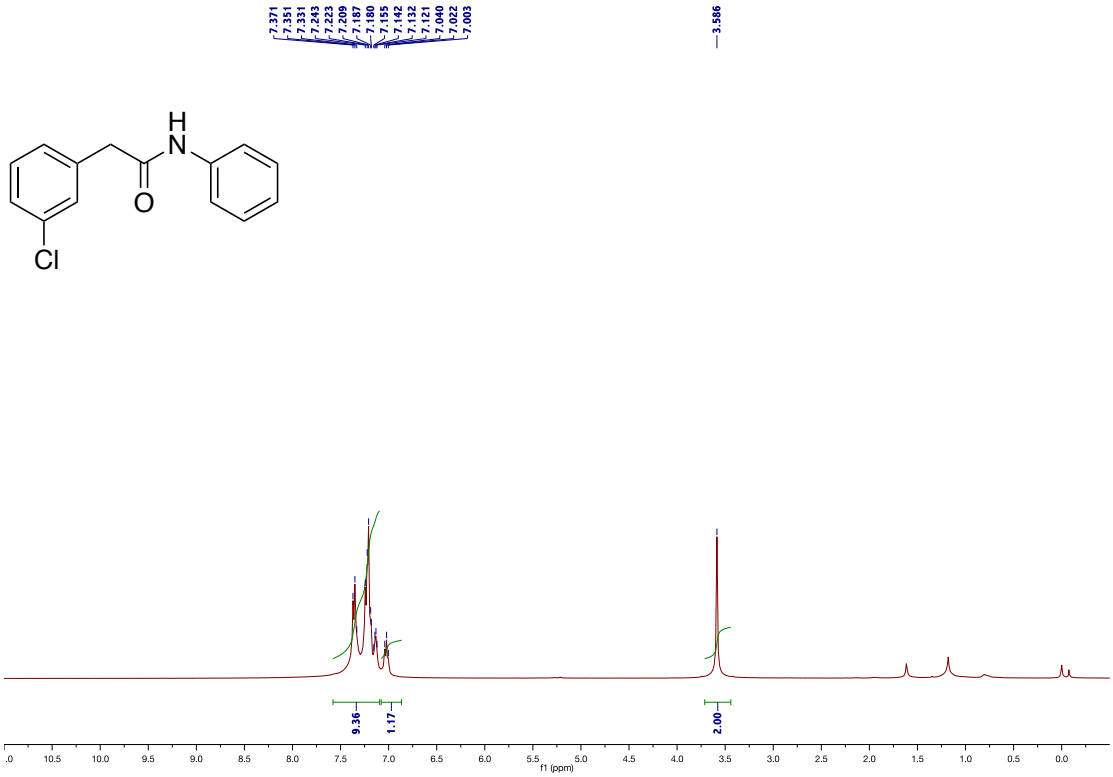
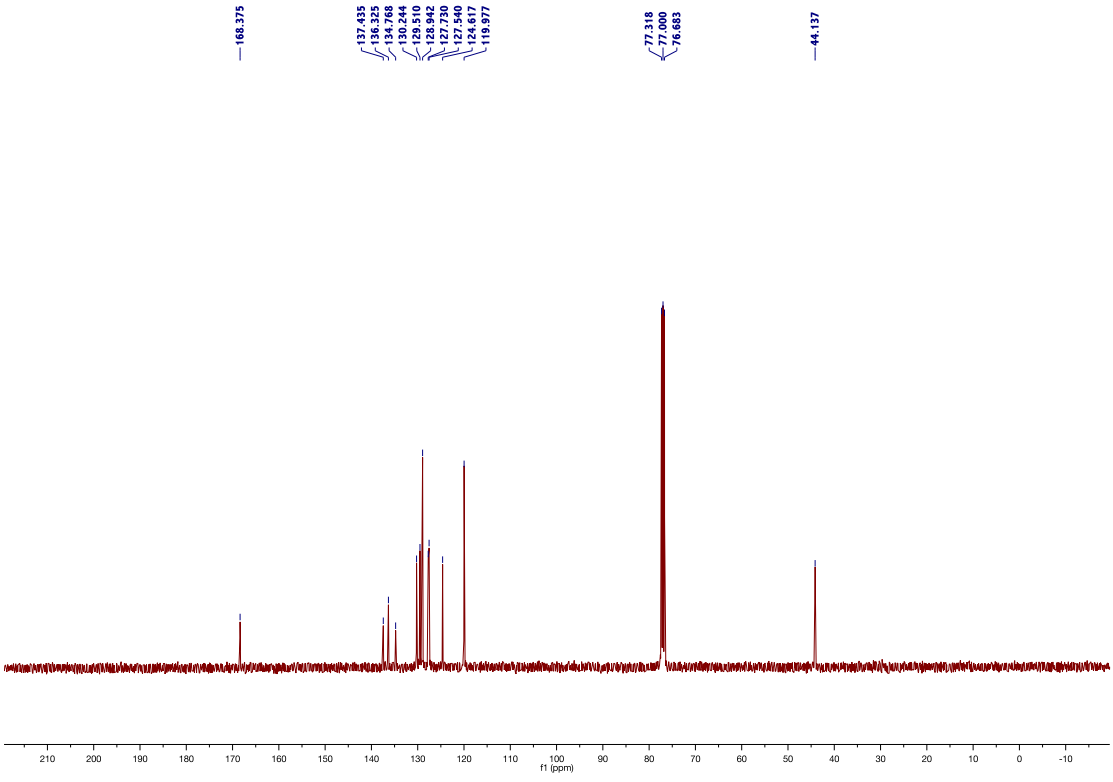
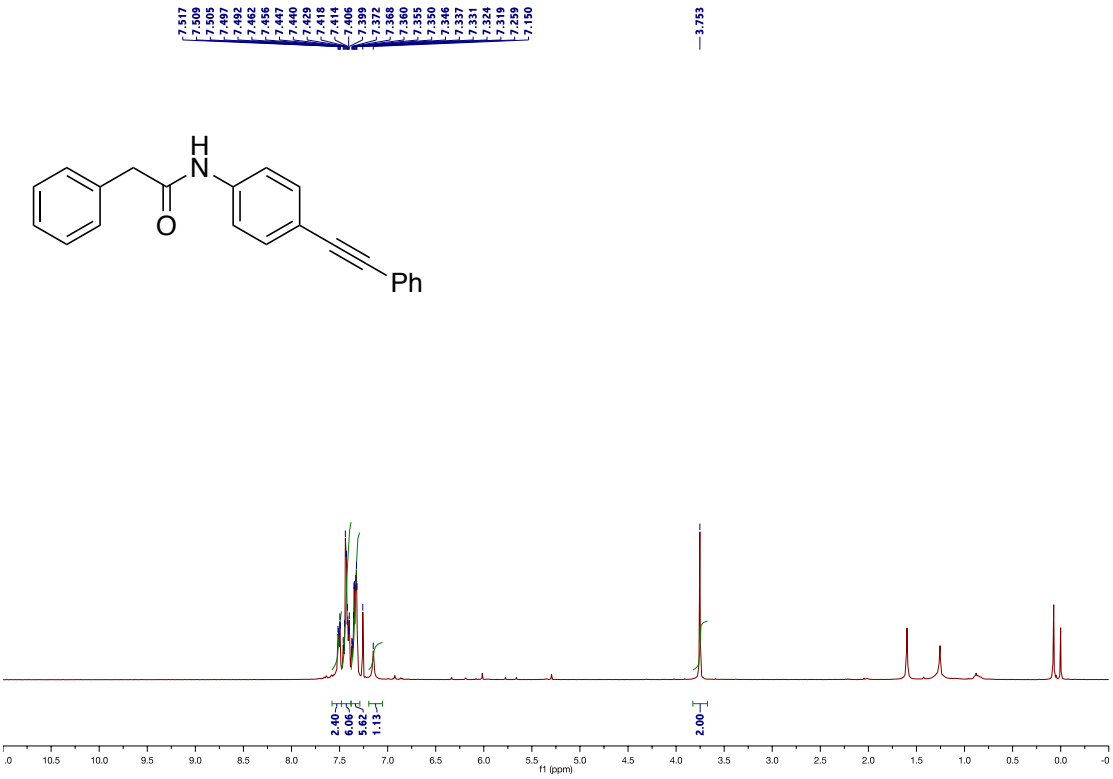
^{1}H NMR spectrum of **1k** (400M Hz, $CDCl_3$)



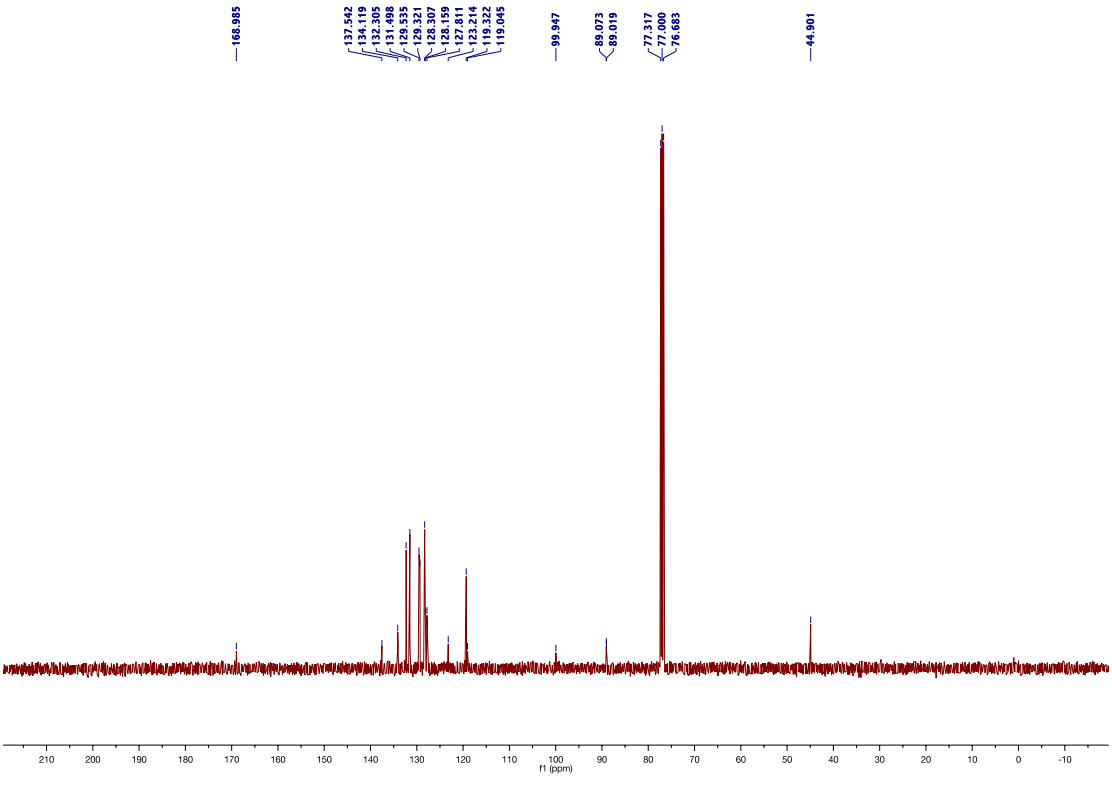
^{13}C spectrum of **1k** (100M Hz, $CDCl_3$)



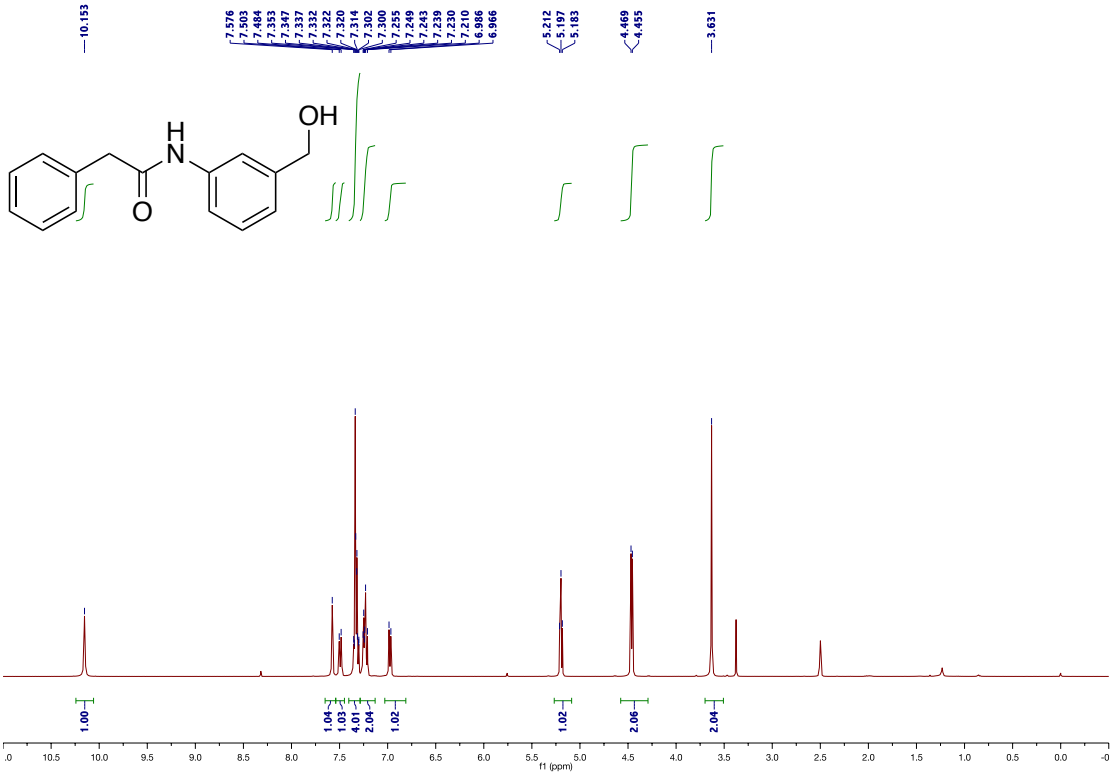
^{1}H NMR spectrum of **1n** (400M Hz, $CDCl_3$)



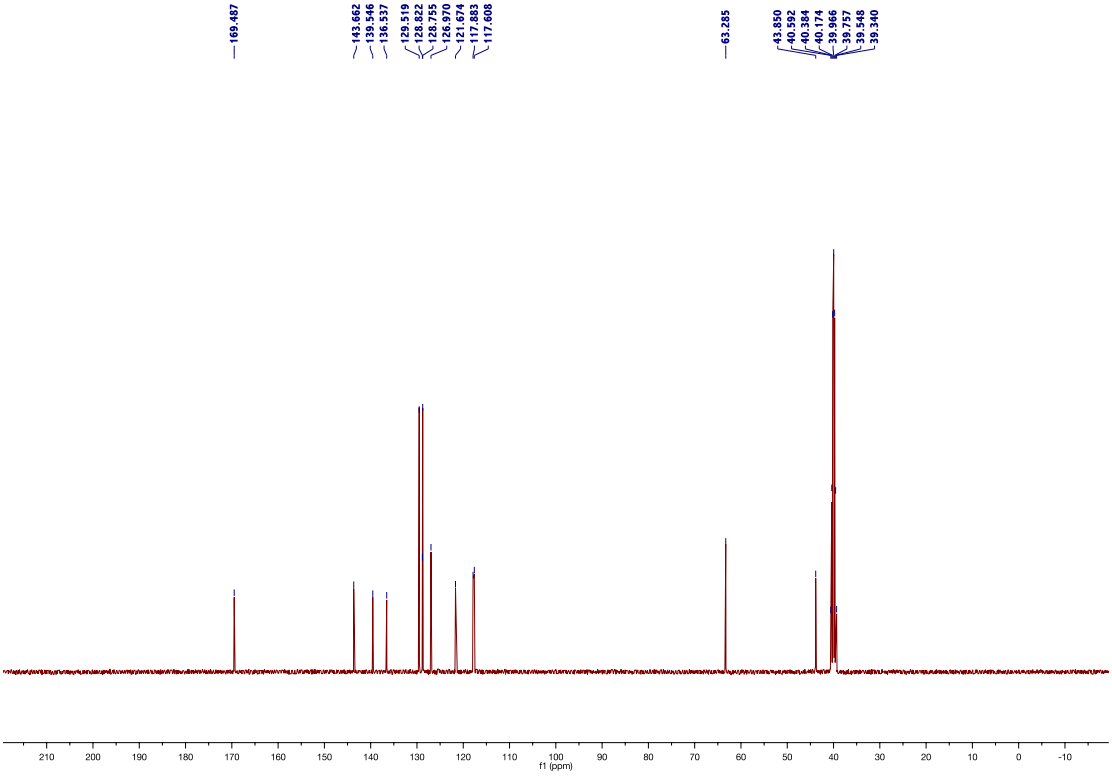
^{13}C NMR spectrum of **1n** (100M Hz, $CDCl_3$)



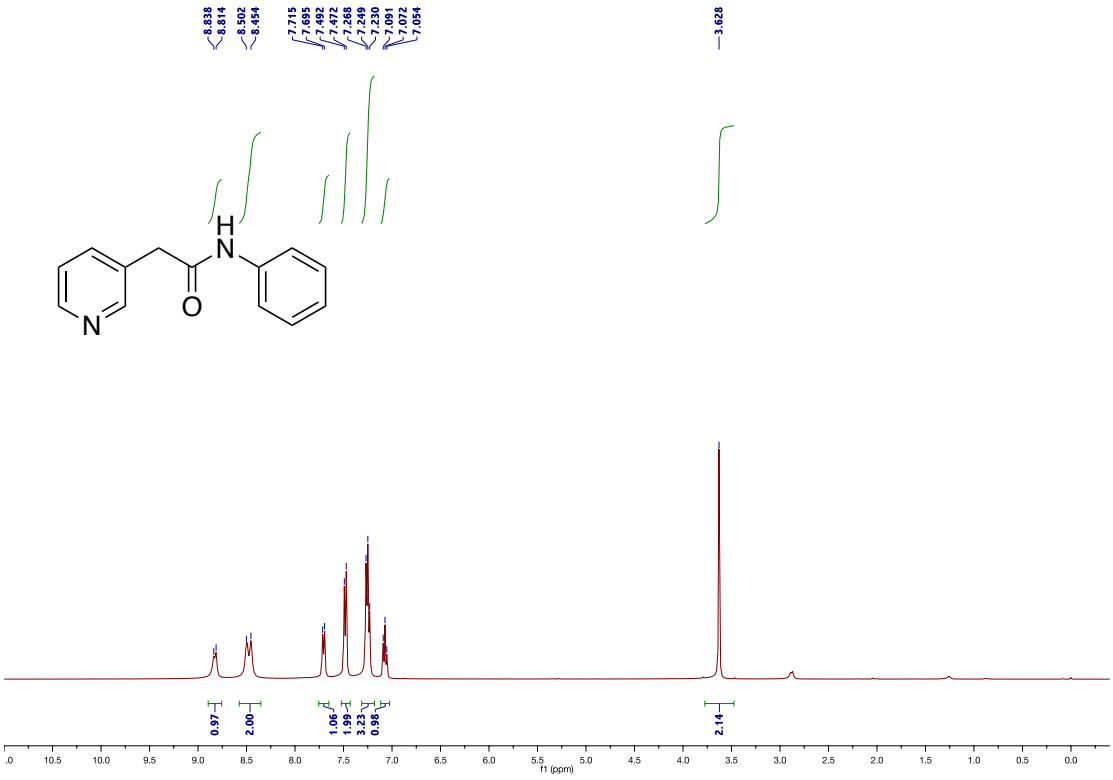
^{1}H NMR spectrum of **1o** (400M Hz, DMSO-d_6)



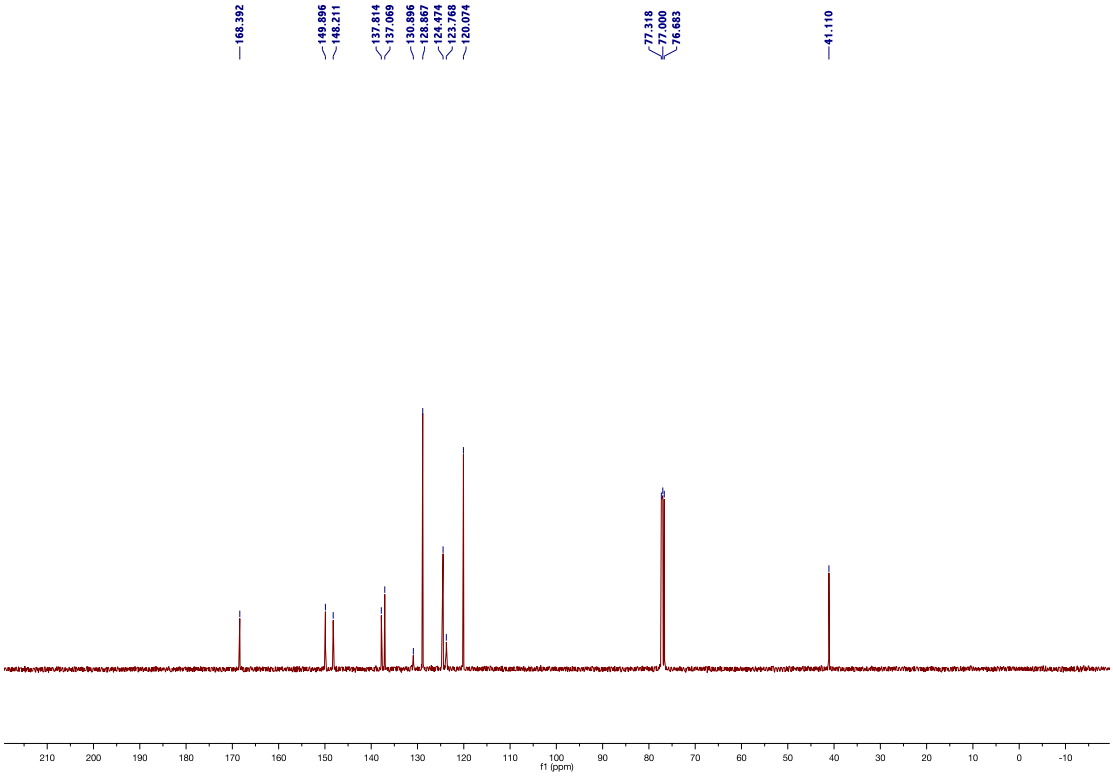
^{13}C NMR spectrum of **1o** (100M Hz, DMSO-d_6)



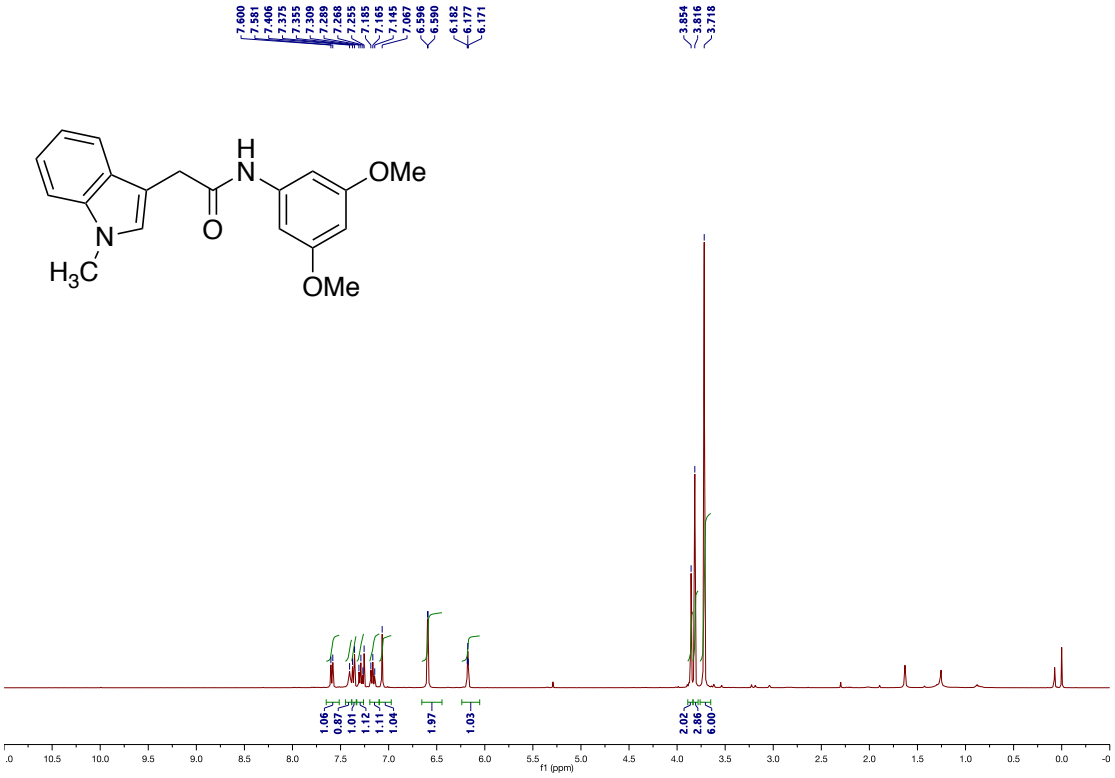
^{1}H NMR spectrum of **1s** (400M Hz, $CDCl_3$)



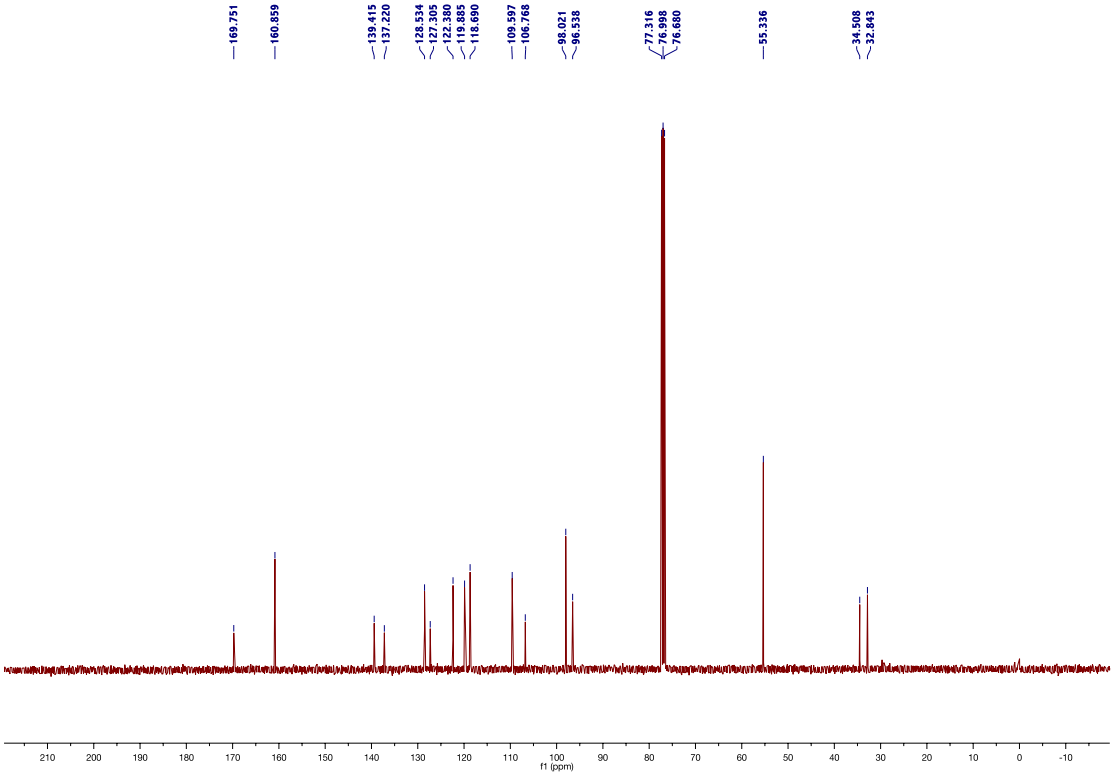
^{13}C NMR spectrum of **1s** (100M Hz, $CDCl_3$)



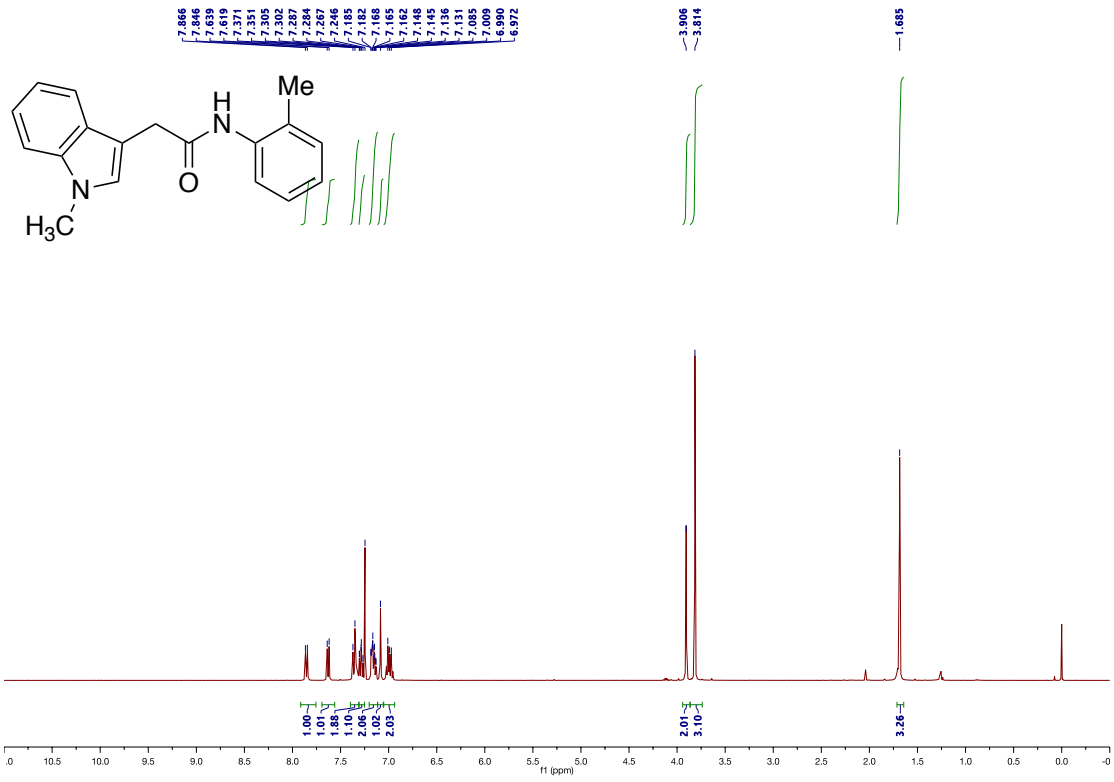
^{1}H NMR spectrum of **1w** (400M Hz, $CDCl_3$)



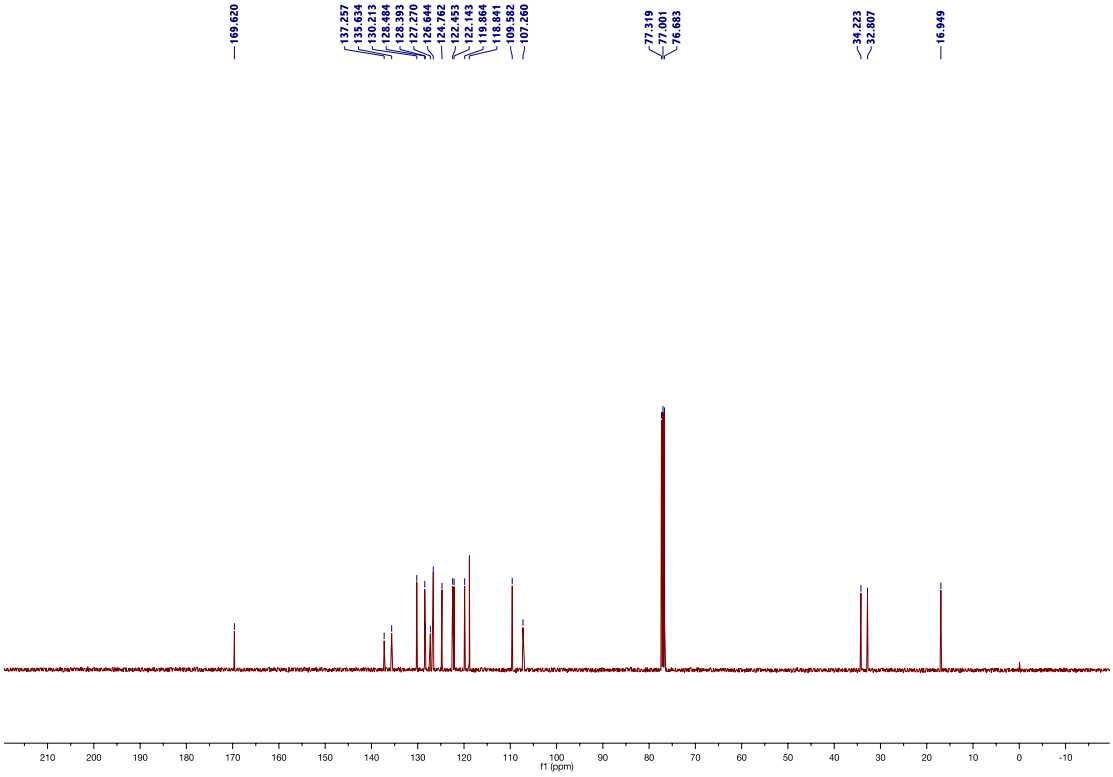
^{13}C NMR spectrum of **1w** (100M Hz, $CDCl_3$)



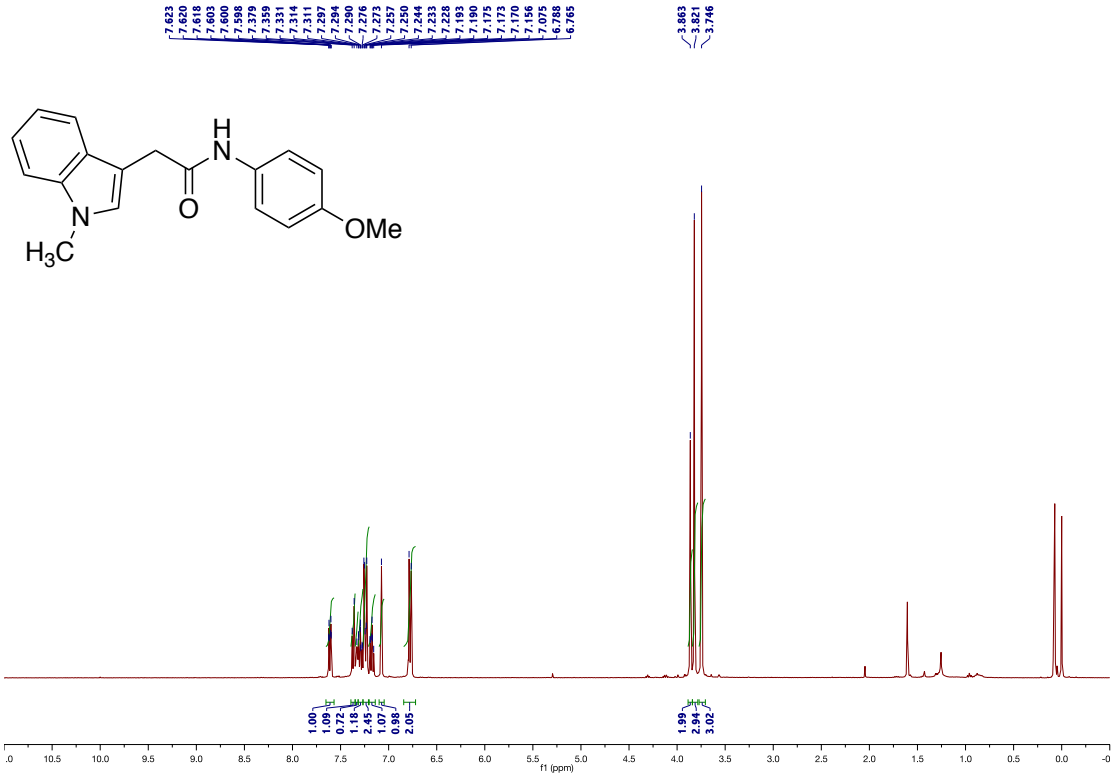
^{1}H NMR spectrum of **1x** (400M Hz, $CDCl_3$)



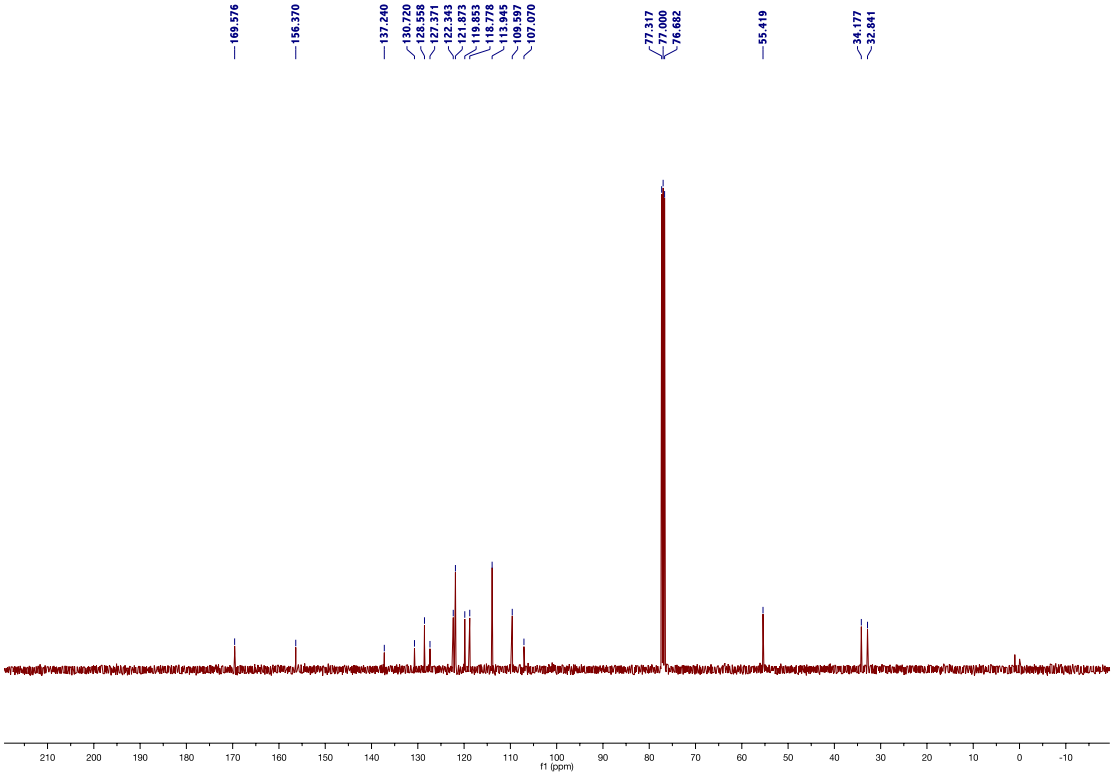
^{13}C NMR spectrum of **1x** (100M Hz, $CDCl_3$)



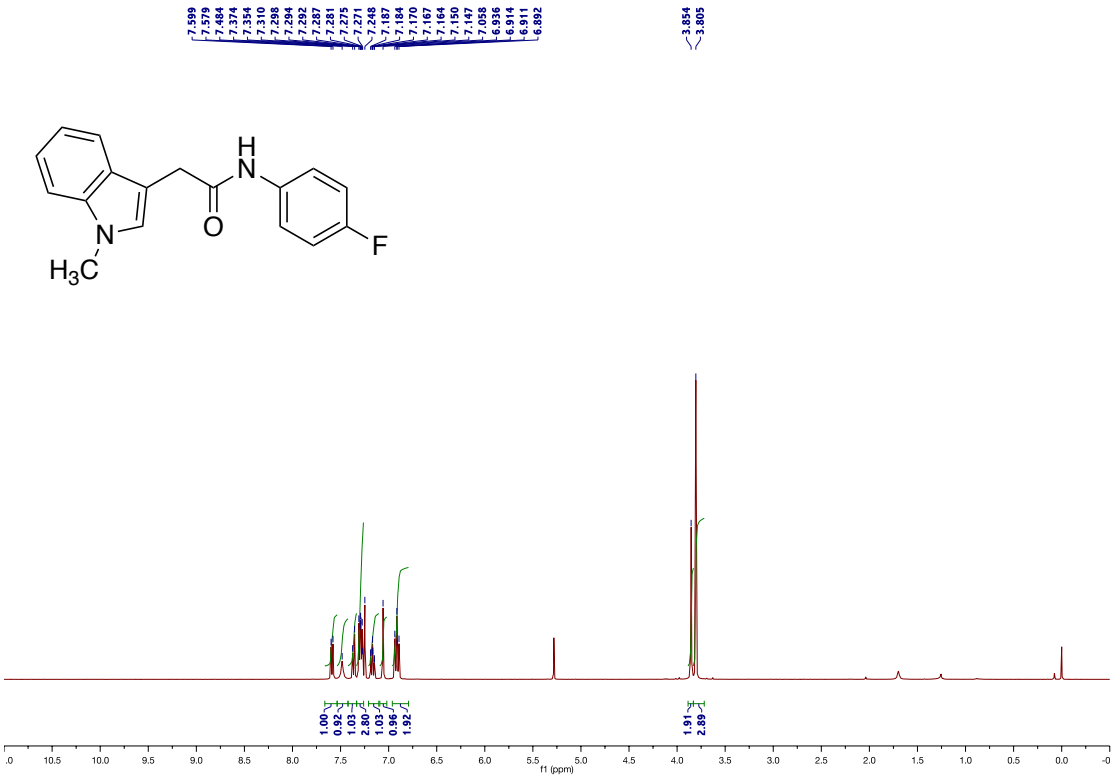
^{1}H NMR spectrum of **1y** (400M Hz, $CDCl_3$)



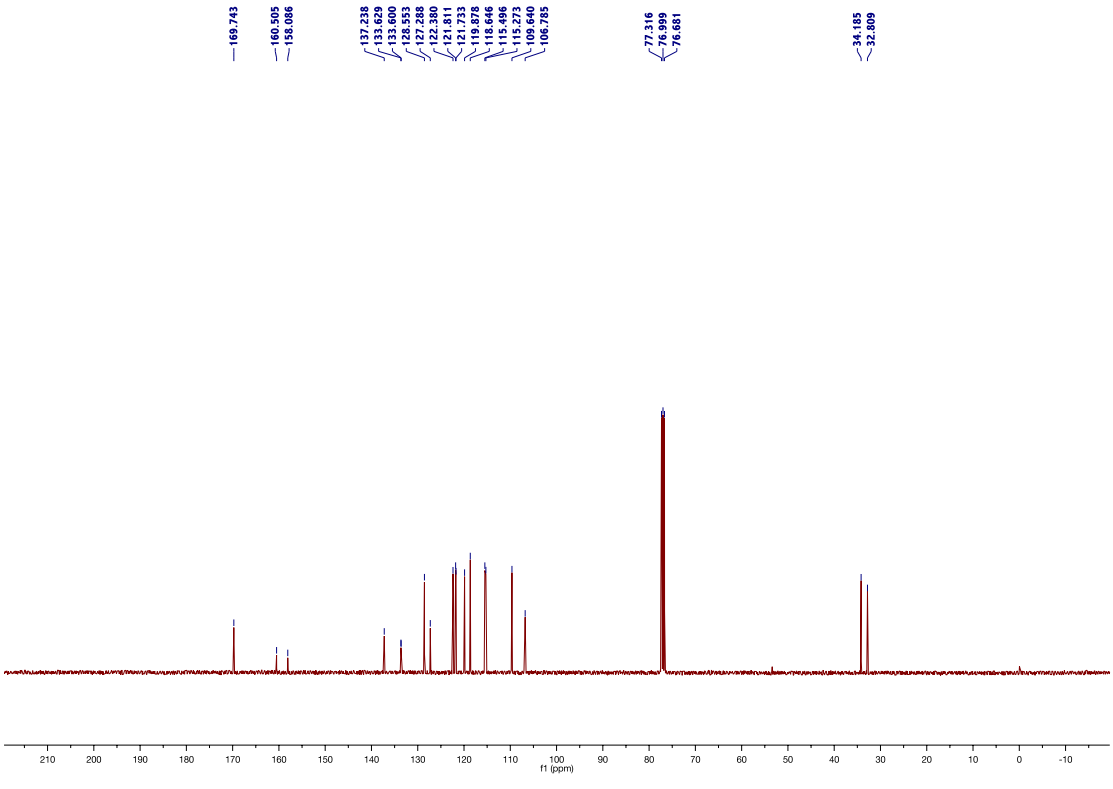
^{13}C NMR spectrum of **1y** (100M Hz, $CDCl_3$)



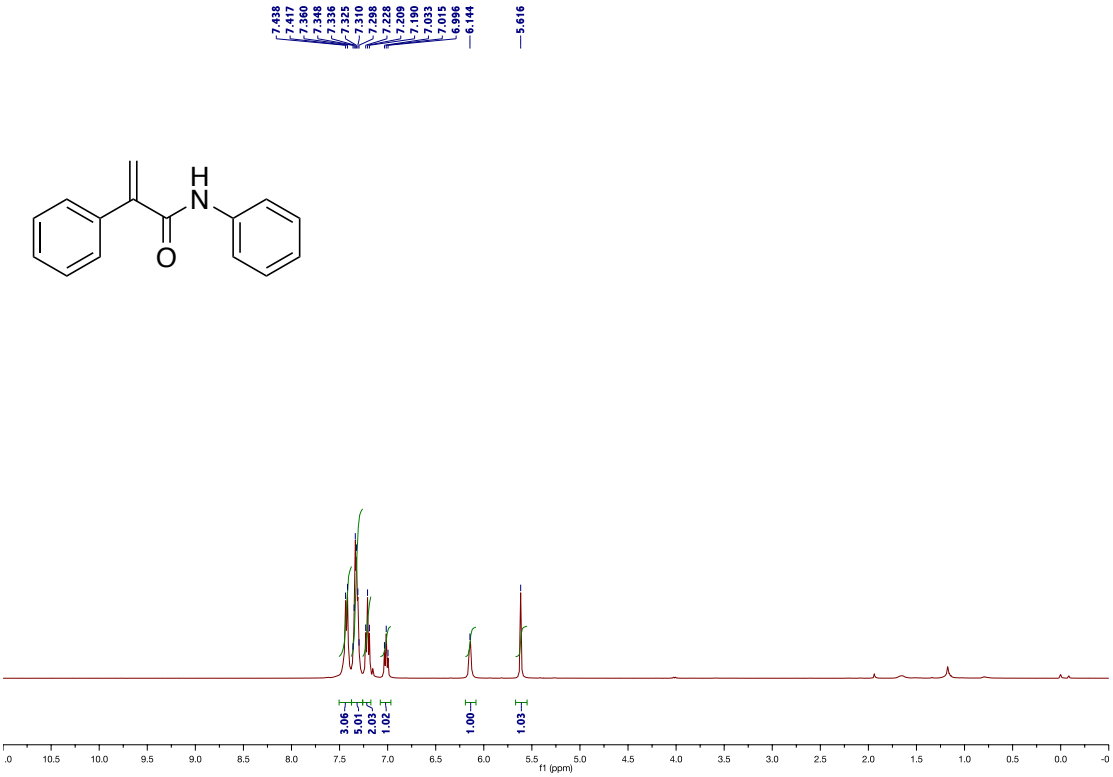
^{1}H NMR spectrum of **1z** (400M Hz, $CDCl_3$)



^{13}C NMR spectrum of **1z** (100M Hz, $CDCl_3$)



^{1}H NMR spectrum of **2a** (400M Hz, $CDCl_3$)



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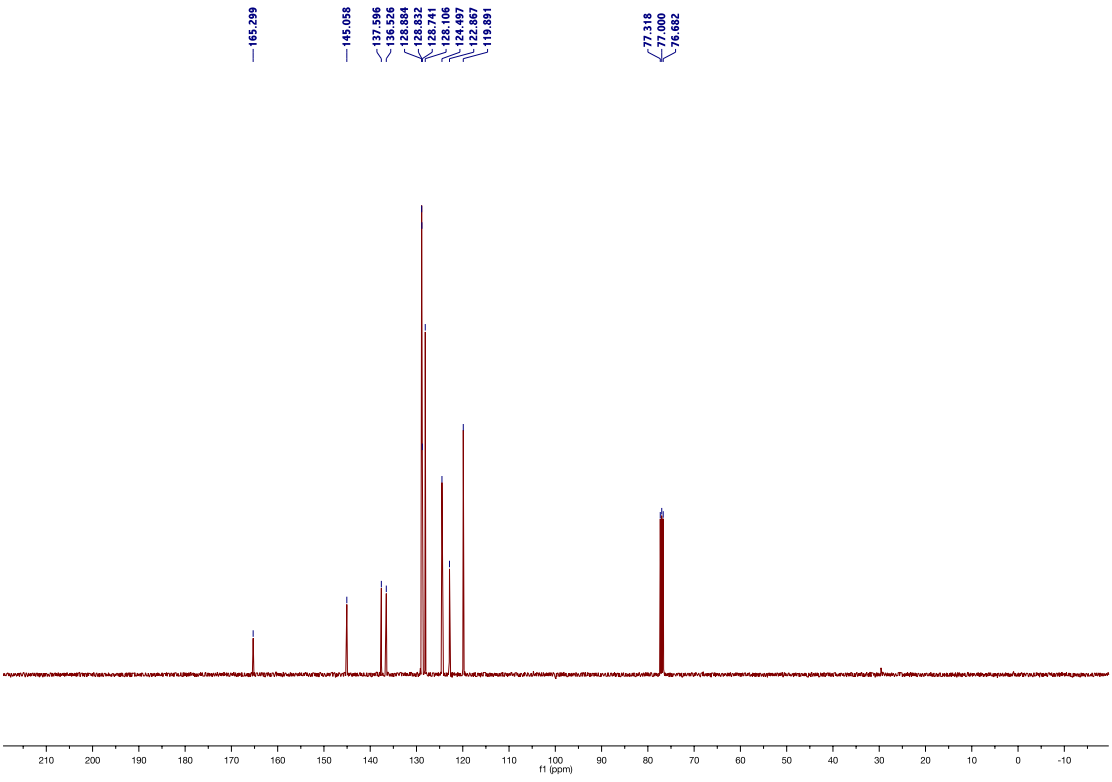
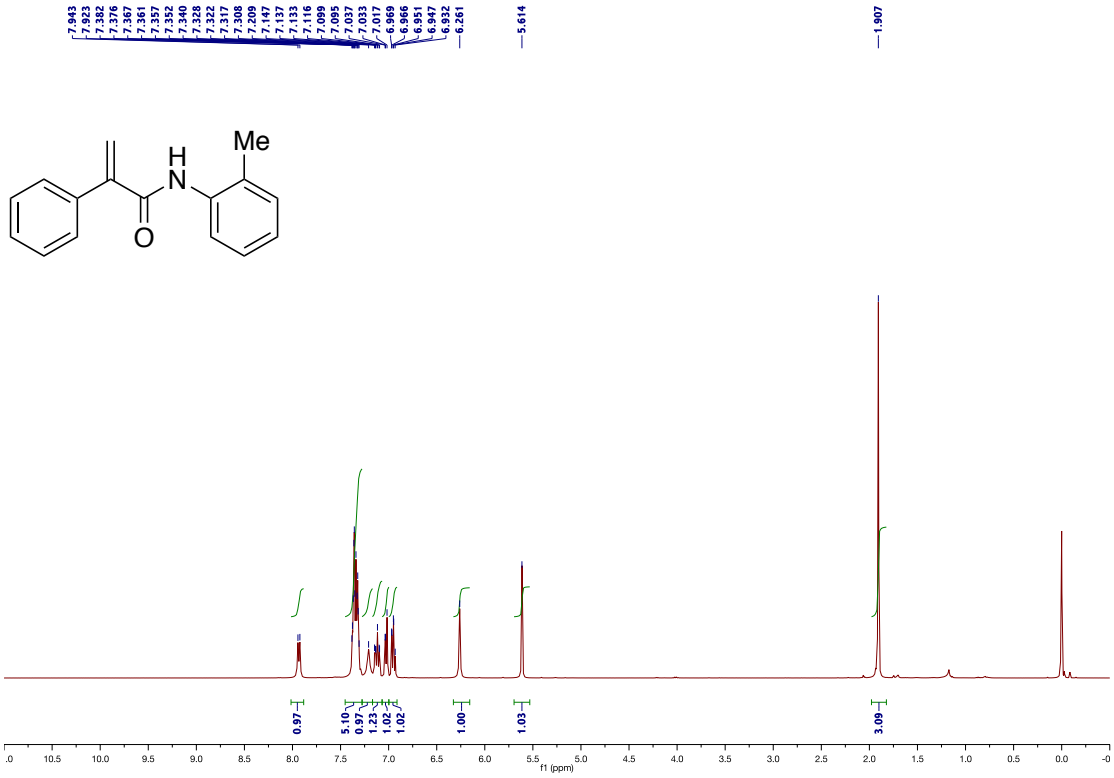
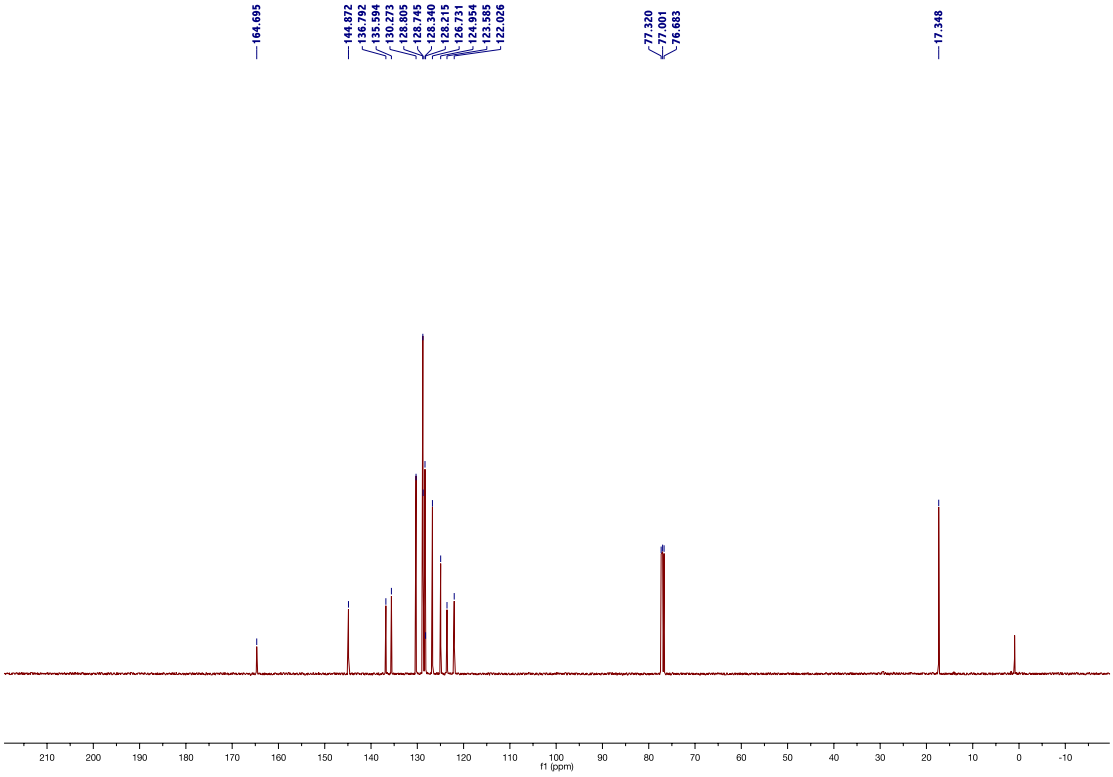


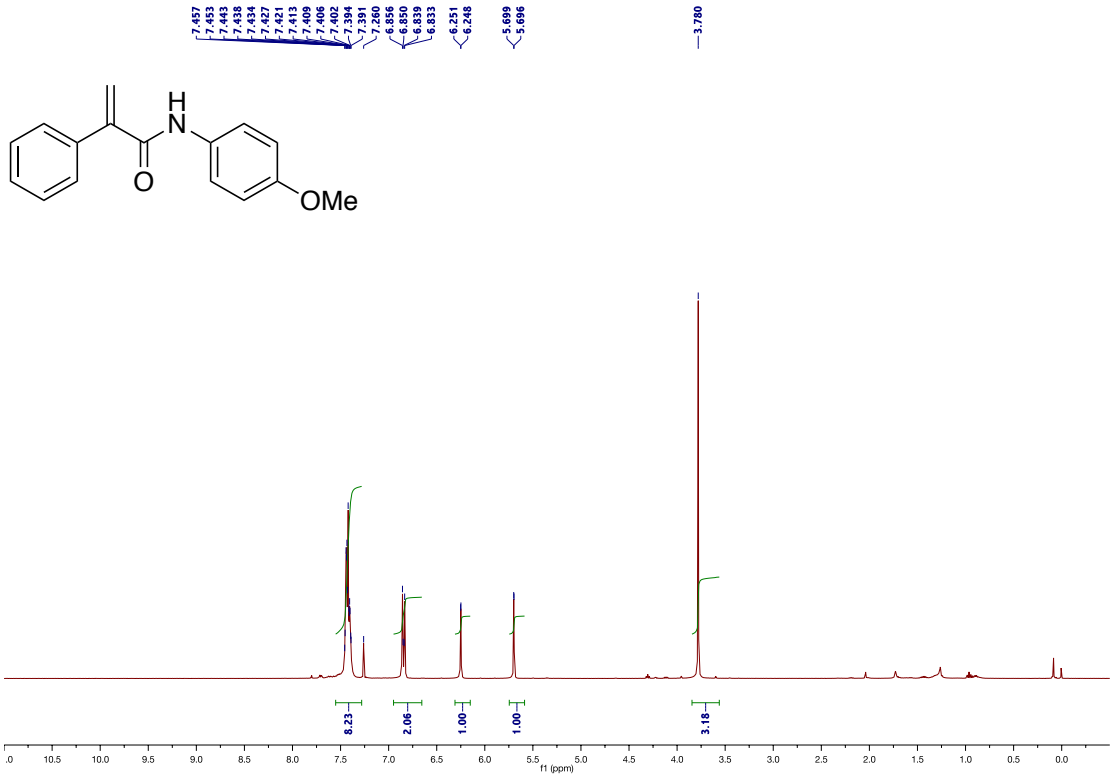
^{1}H NMR spectrum of **2b** (400M Hz, $CDCl_3$)



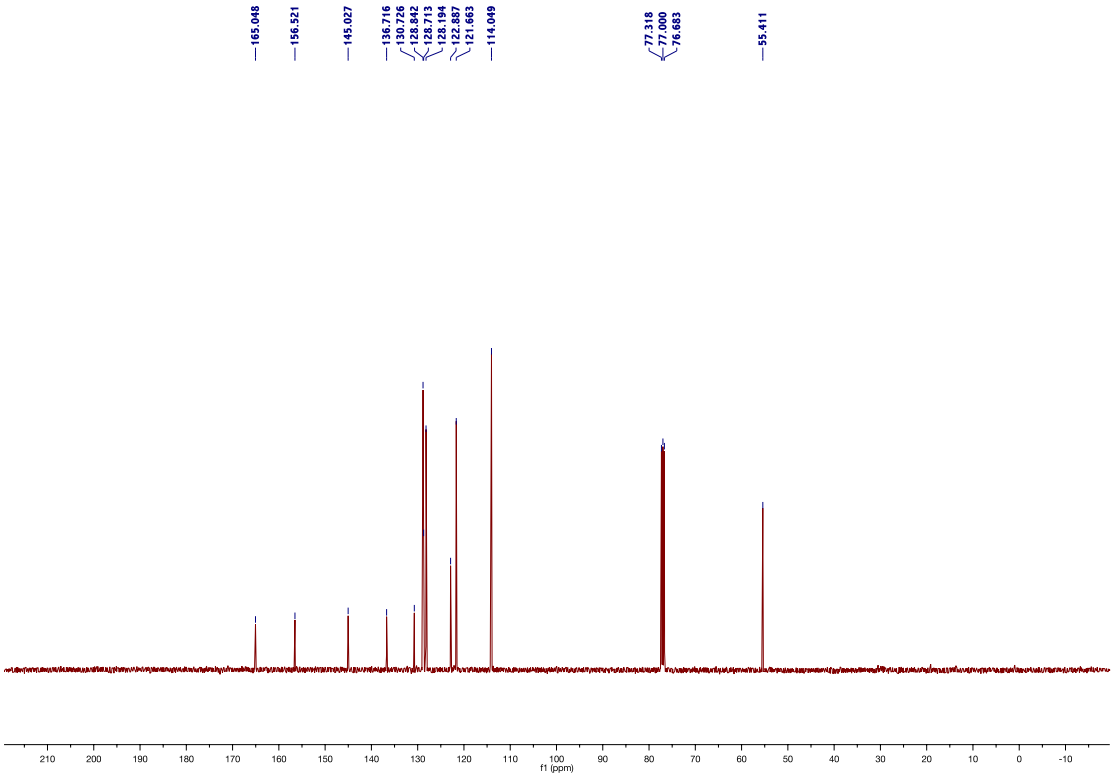
^{13}C NMR spectrum of **2b** (100M Hz, $CDCl_3$)



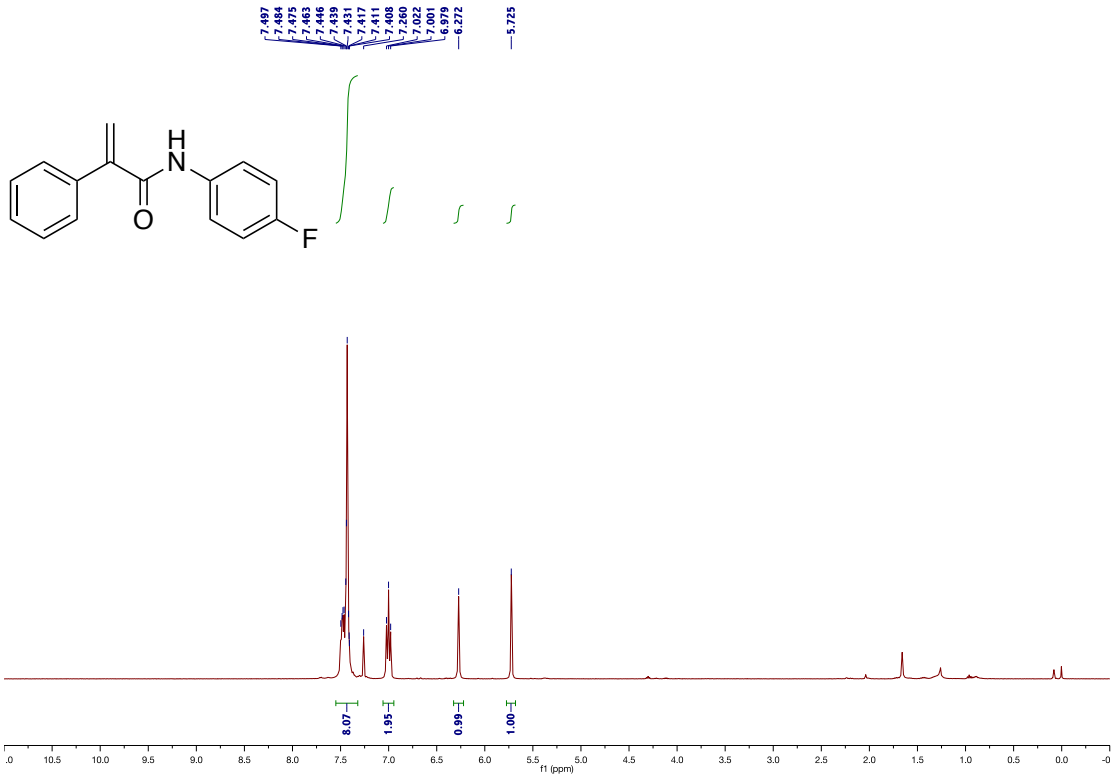
^{1}H NMR spectrum of **2c** (400M Hz, $CDCl_3$)



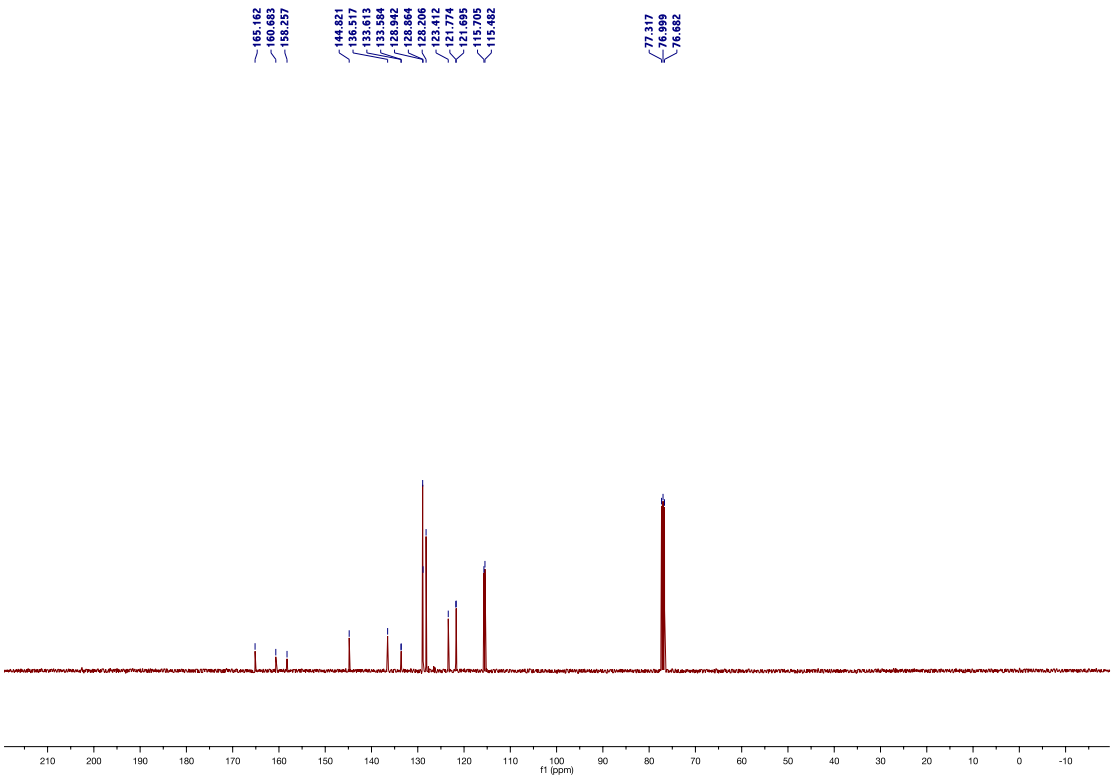
^{13}C NMR spectrum of **2c** (100M Hz, $CDCl_3$)



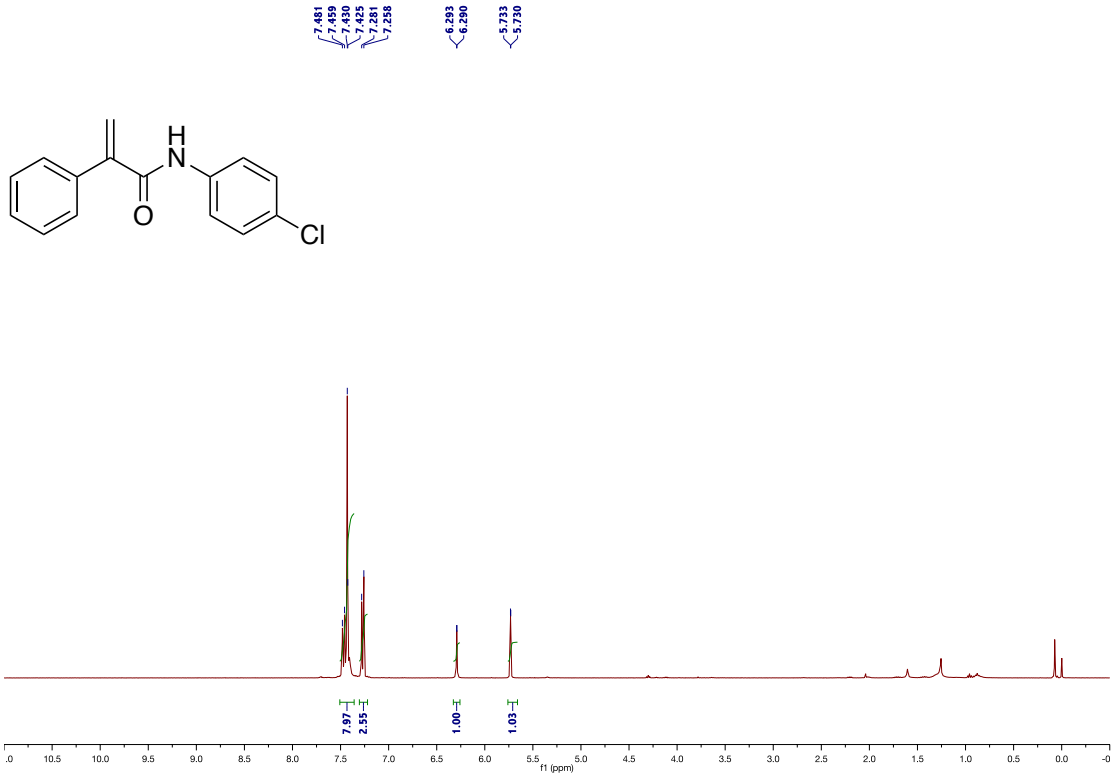
^{1}H NMR spectrum of **2d** (400M Hz, $CDCl_3$)



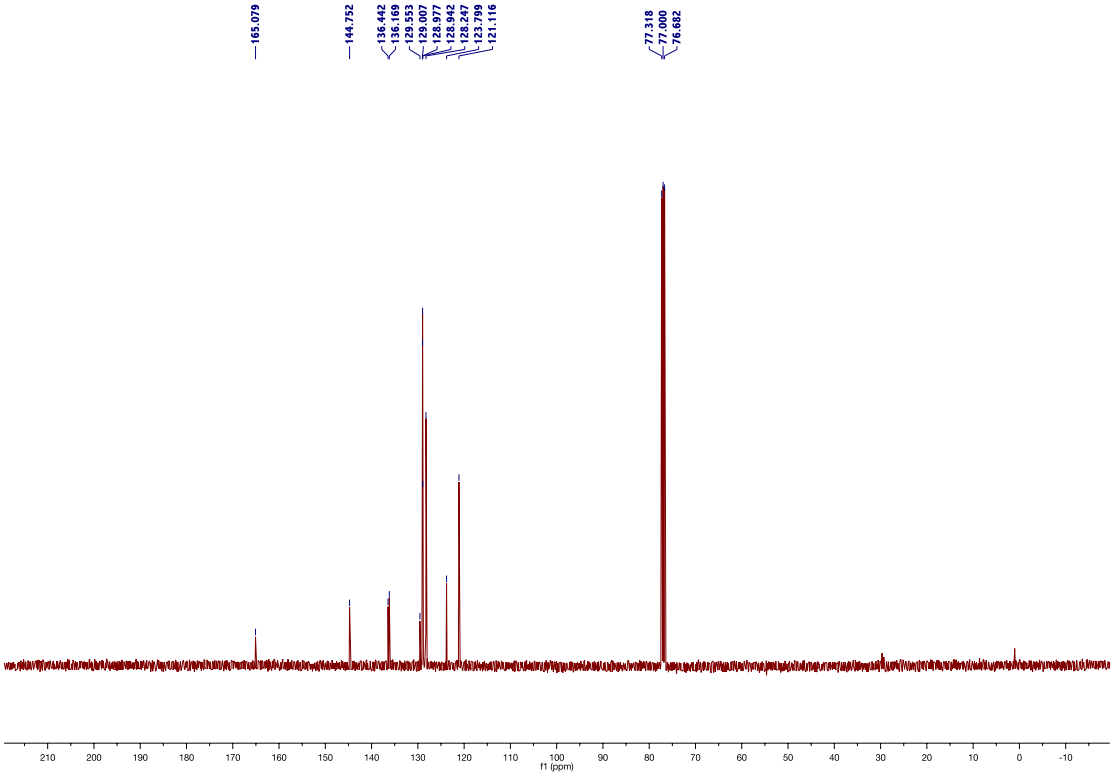
^{13}C NMR spectrum of **2d** (100M Hz, $CDCl_3$)



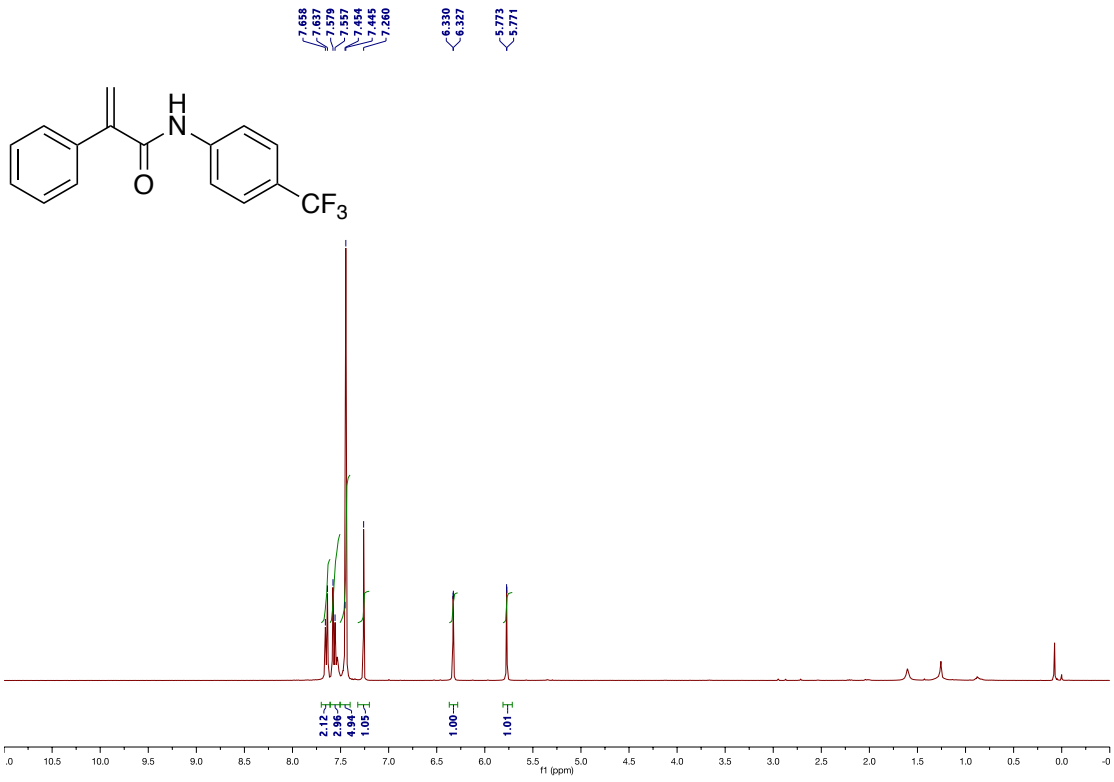
^{1}H NMR spectrum of **2e** (400M Hz, $CDCl_3$)



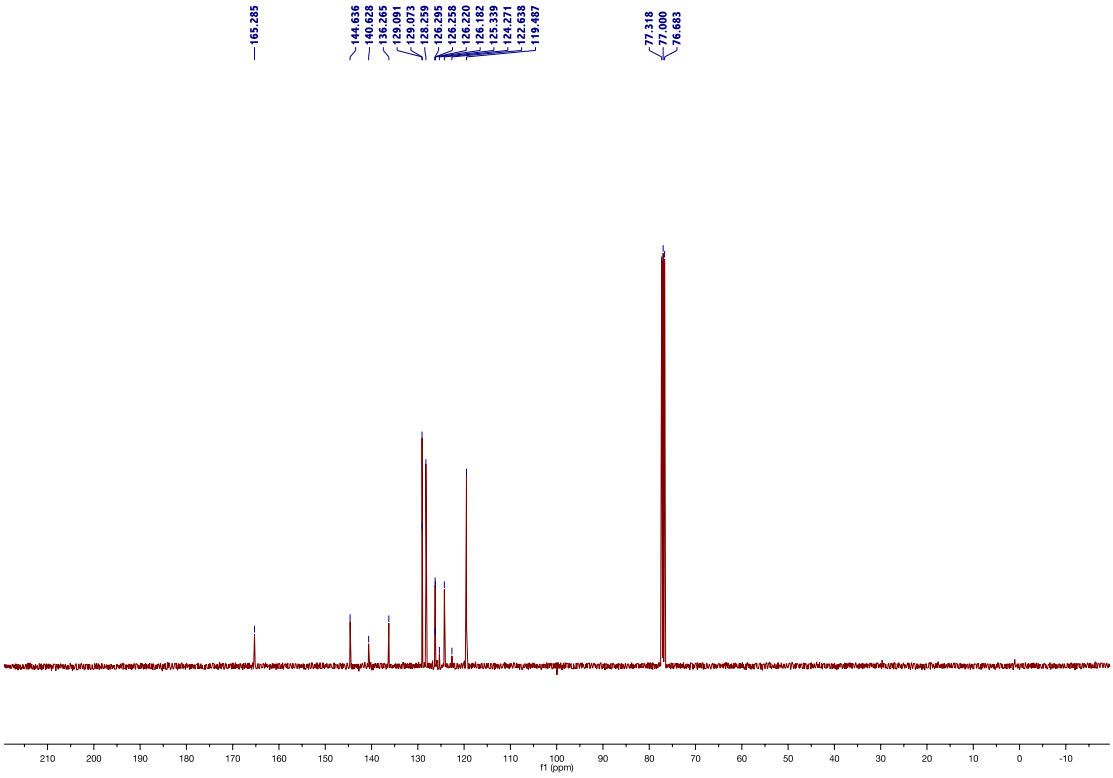
^{13}C NMR spectrum of **2e** (100M Hz, $CDCl_3$)



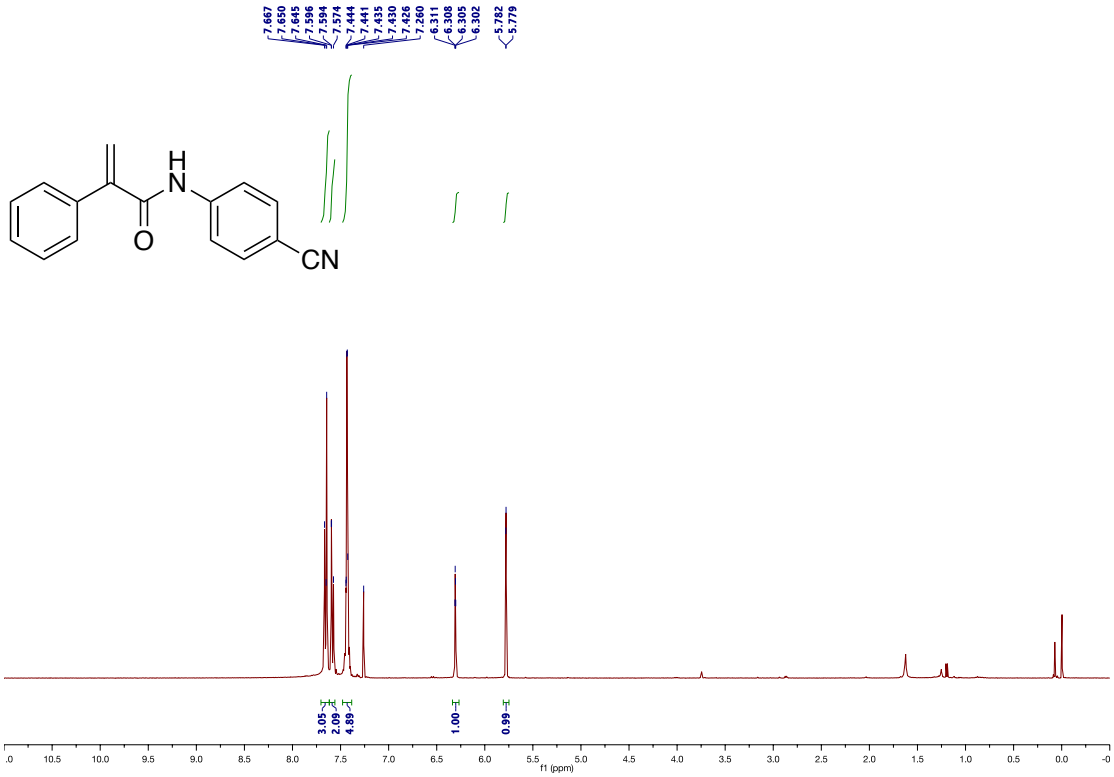
^{1}H NMR spectrum of **2f** (400M Hz, $CDCl_3$)



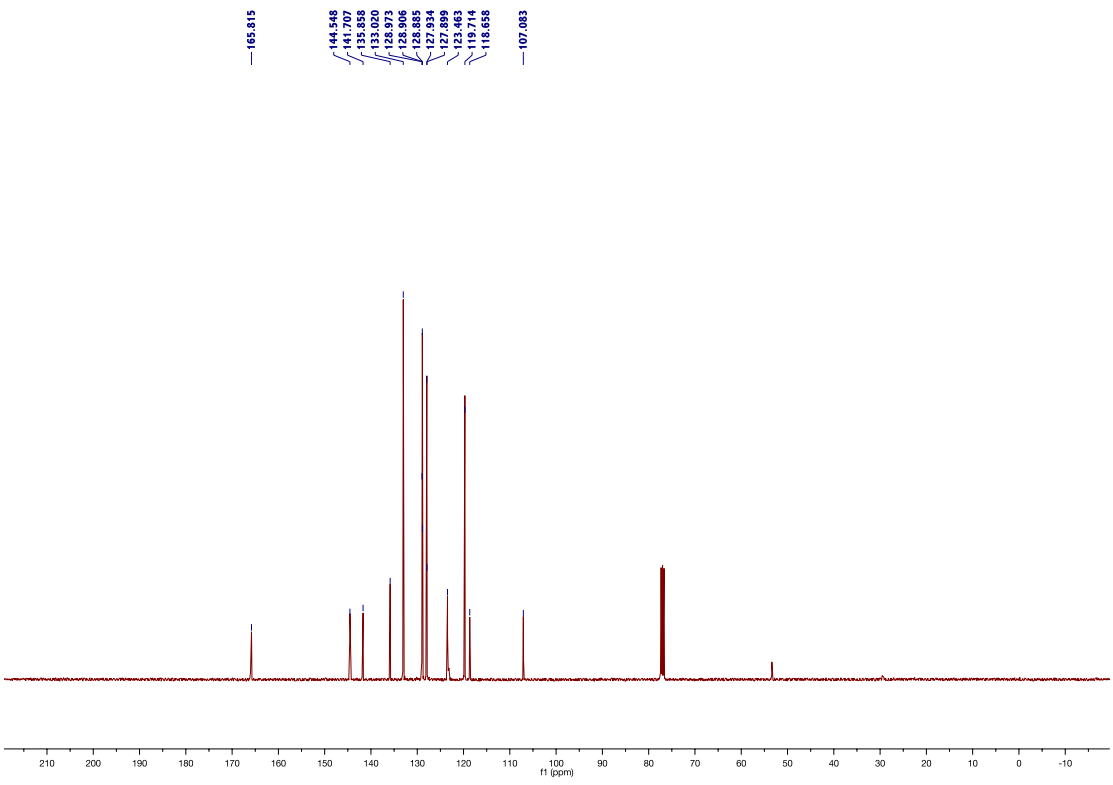
^{13}C NMR spectrum of **2f** (100M Hz, $CDCl_3$)



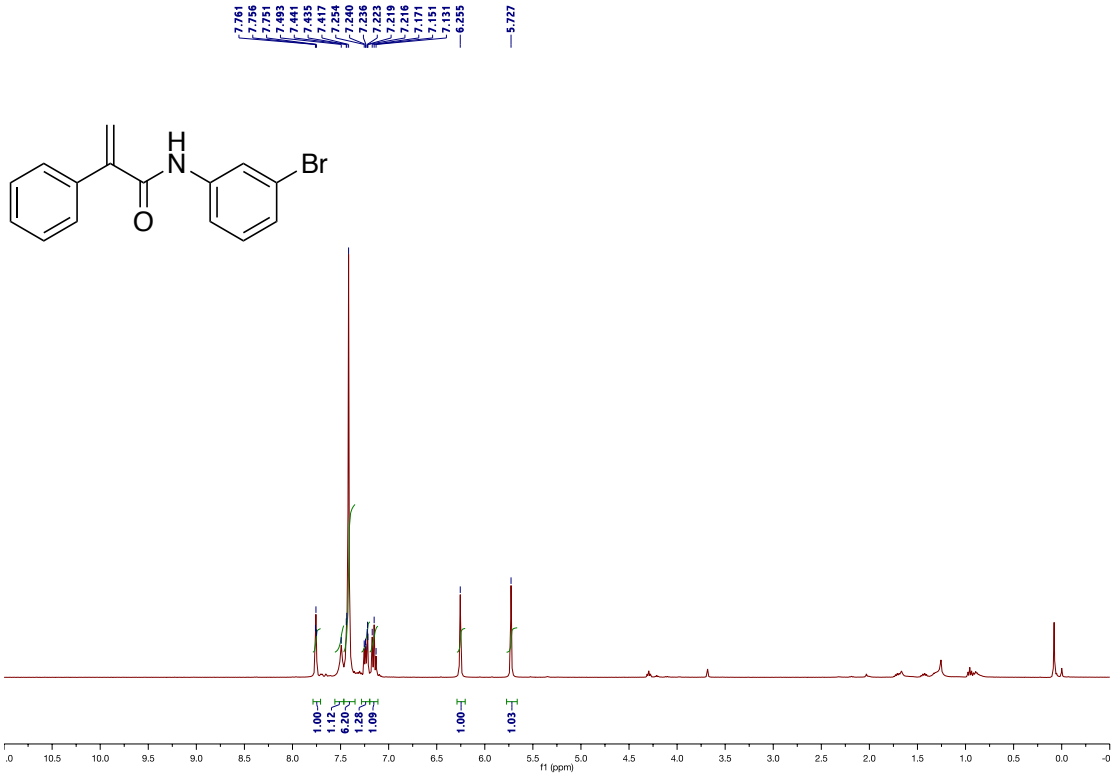
^{1}H NMR spectrum of **2g** (400M Hz, $CDCl_3$)



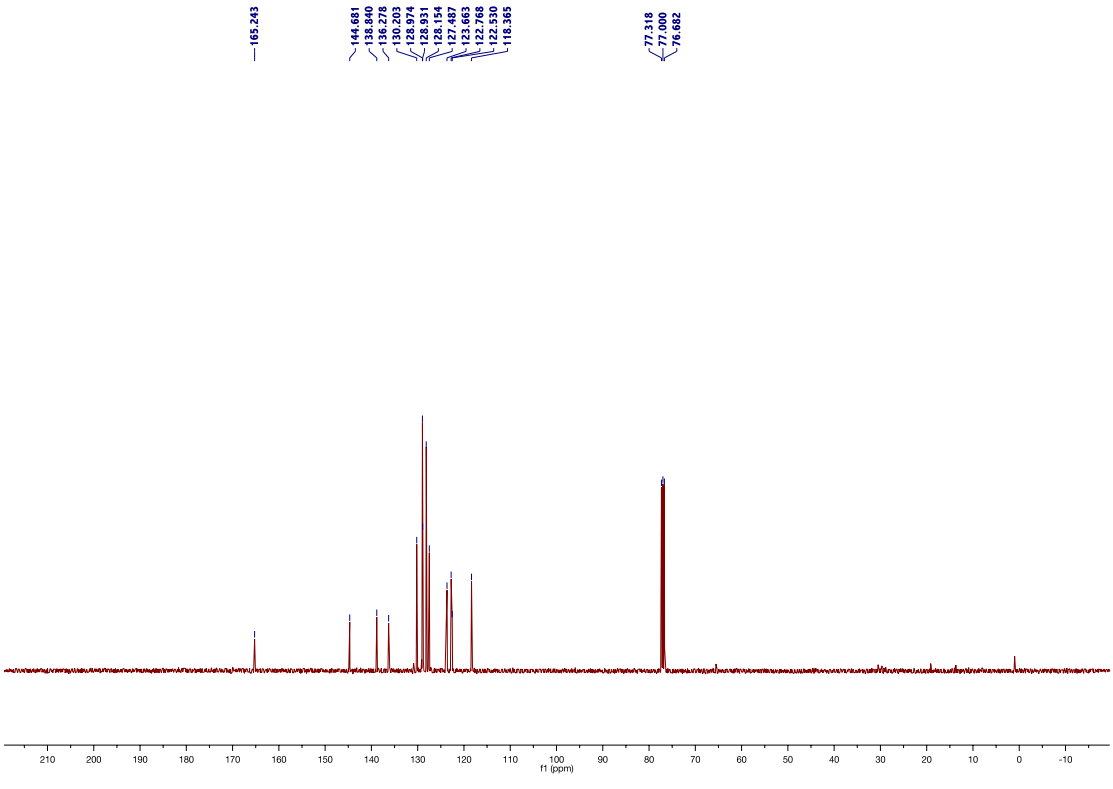
^{13}C NMR spectrum of **2g** (100M Hz, $CDCl_3$)



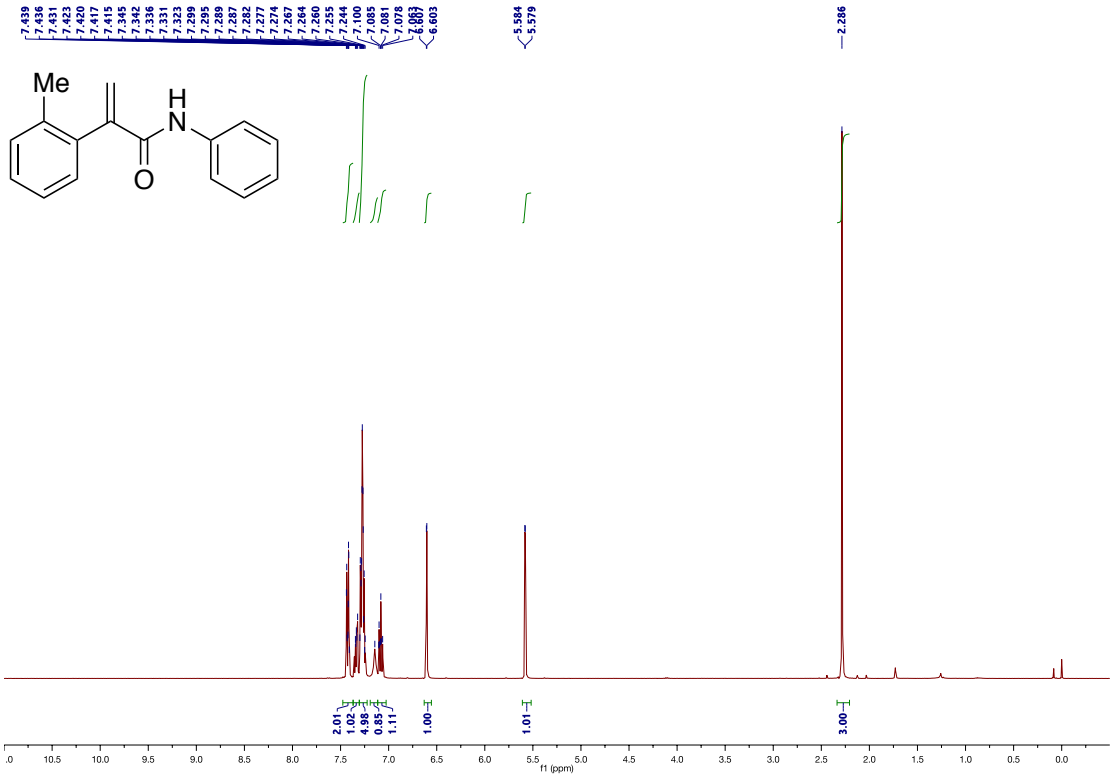
^{1}H NMR spectrum of **2h** (400M Hz, $CDCl_3$)



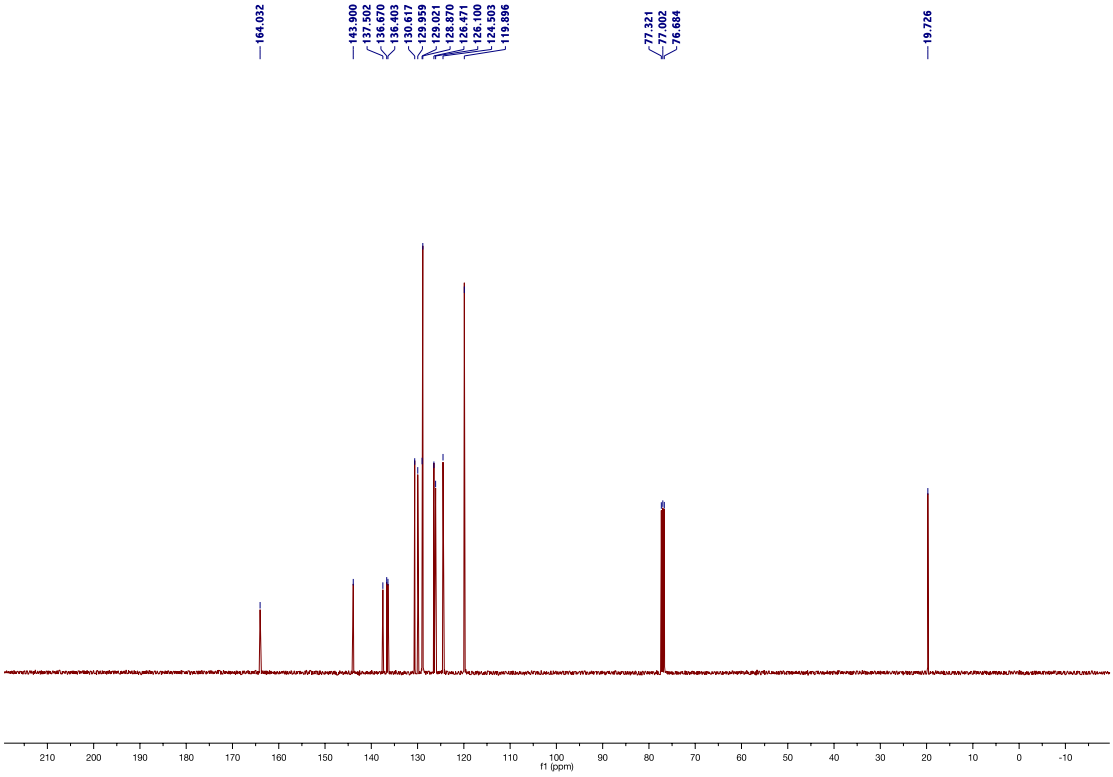
^{13}C NMR spectrum of **2h** (100M Hz, $CDCl_3$)



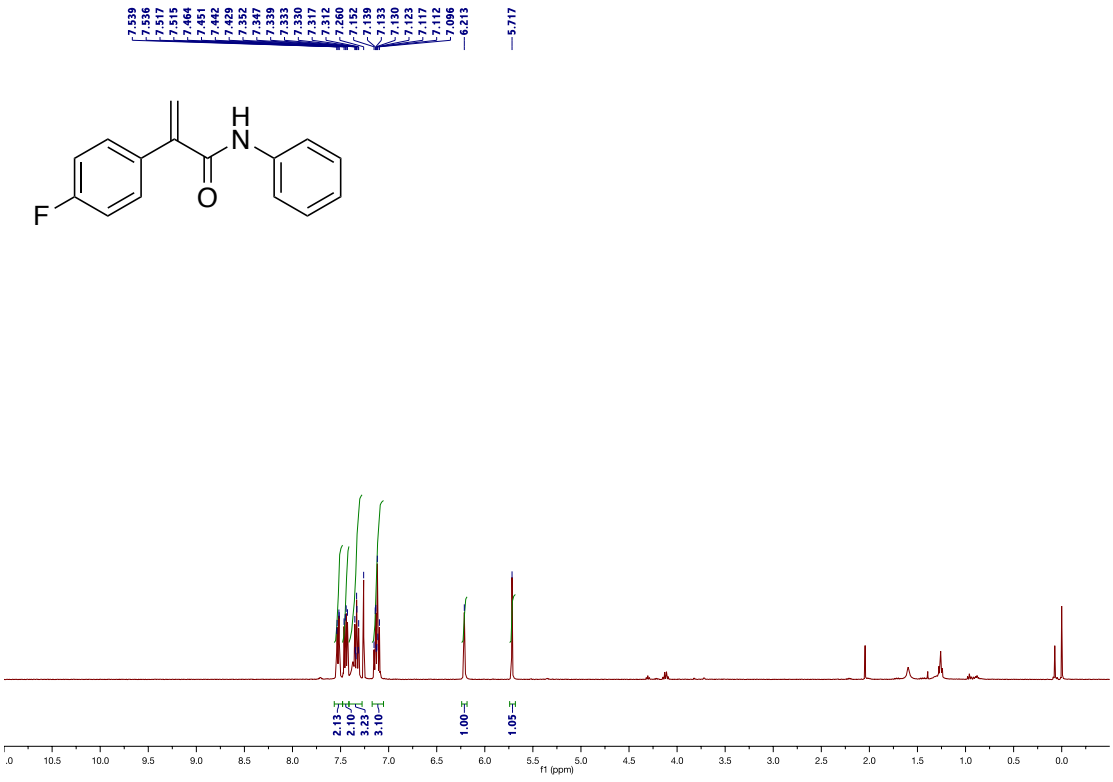
^{1}H NMR spectrum of **2i** (400M Hz, $CDCl_3$)



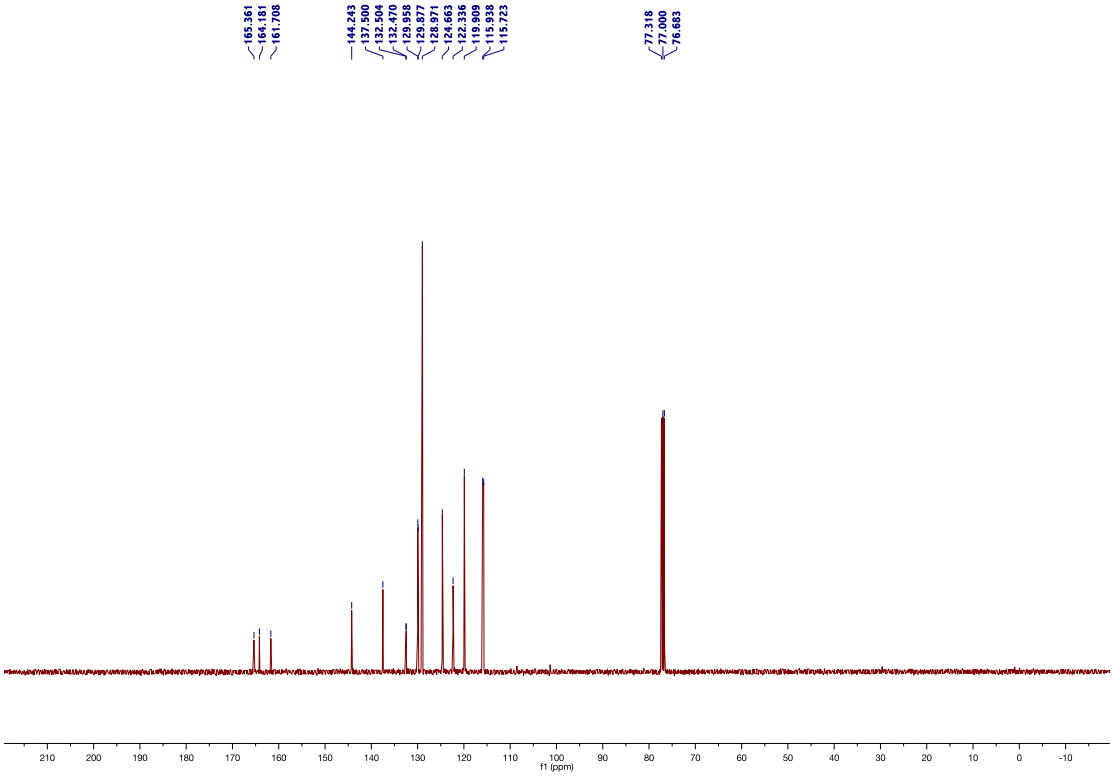
^{13}C NMR spectrum of **2i** (100M Hz, $CDCl_3$)



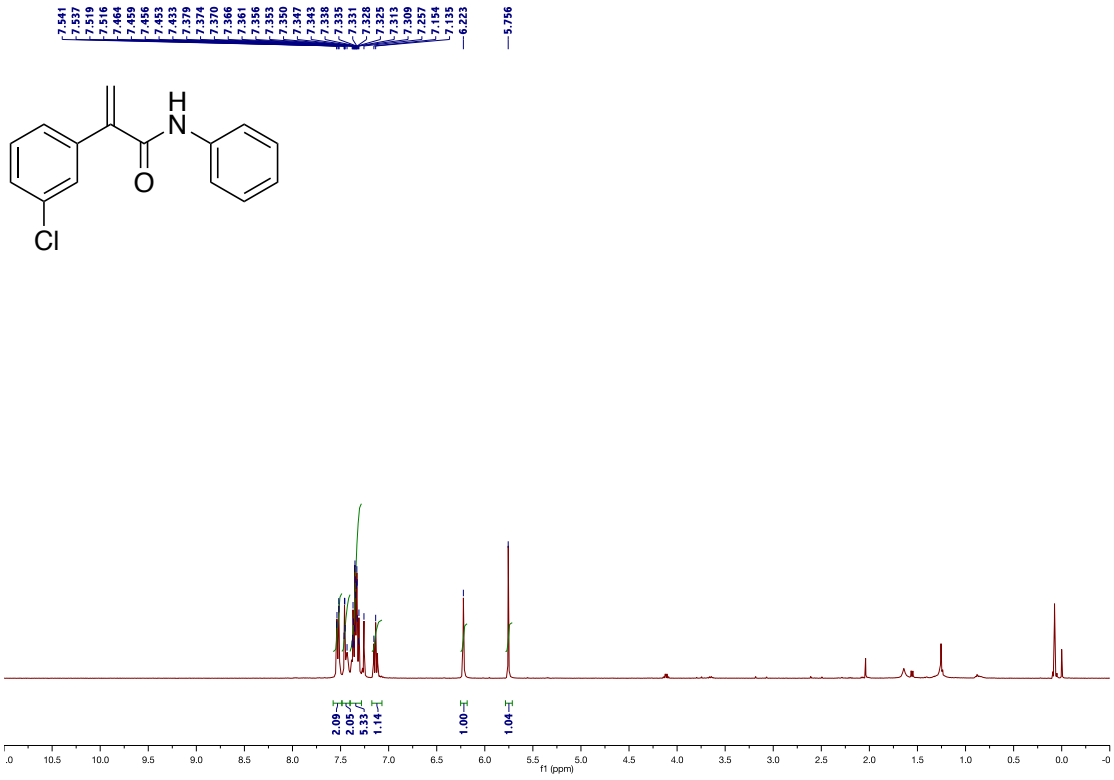
^{1}H NMR spectrum of **2j** (400M Hz, $CDCl_3$)



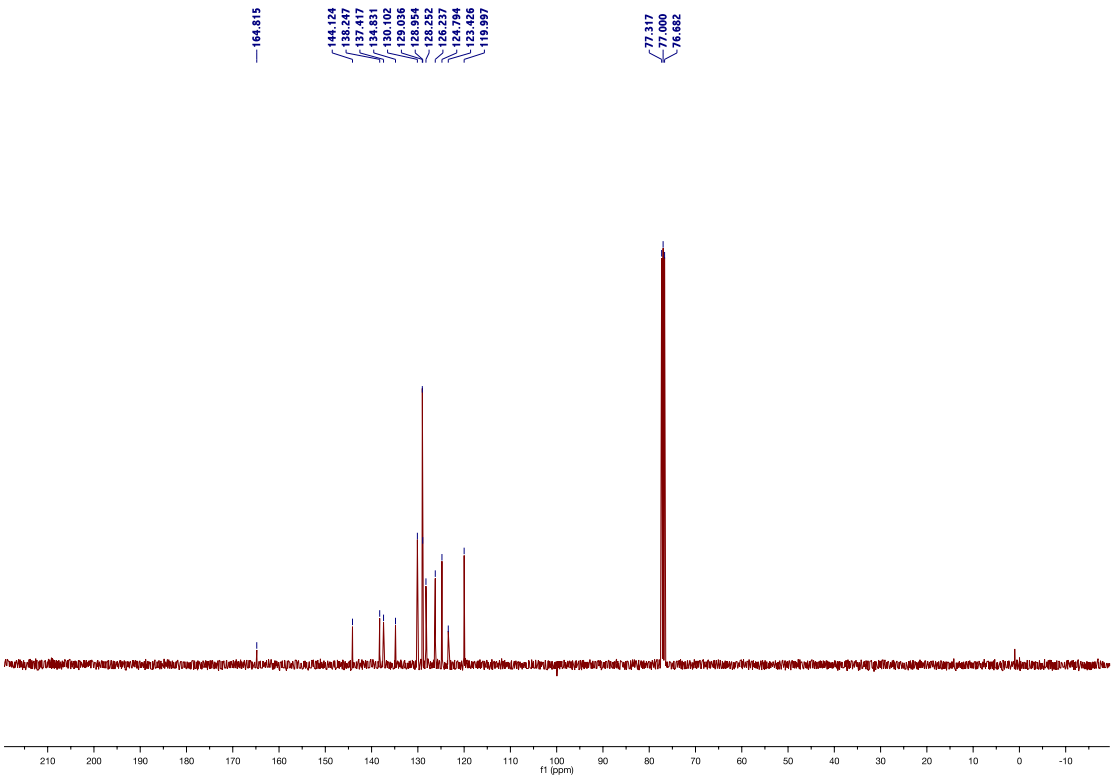
^{13}C NMR spectrum of **2j** (100M Hz, $CDCl_3$)



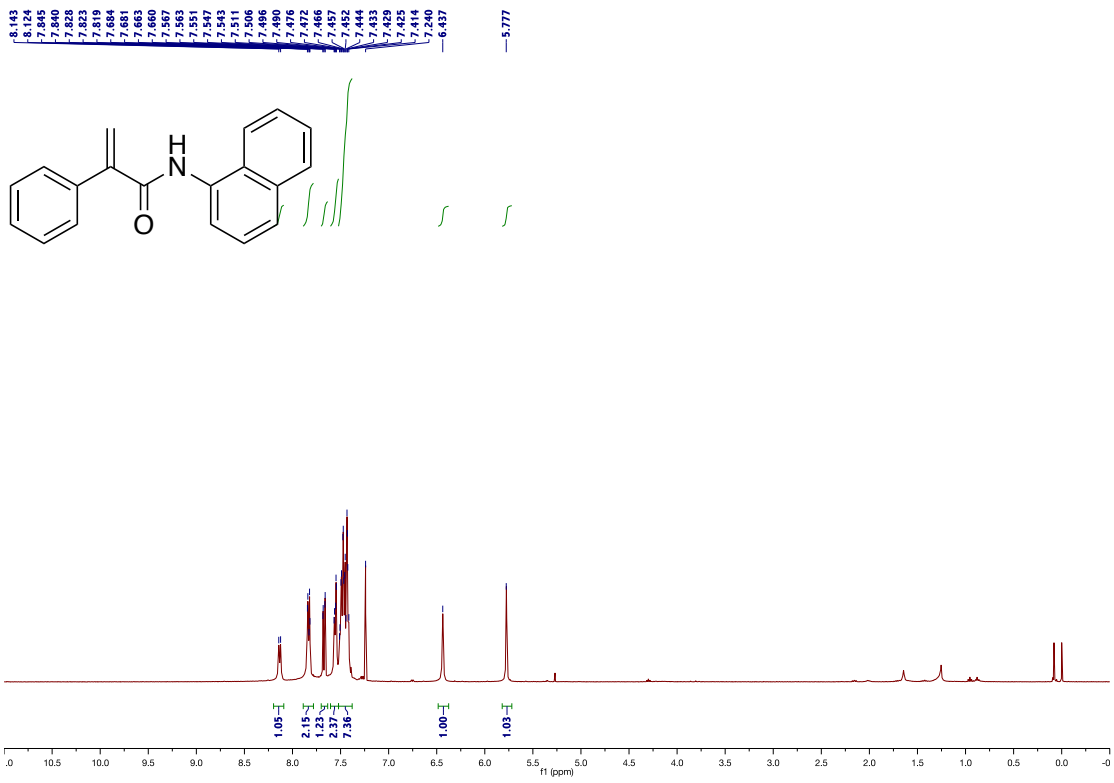
^{1}H NMR spectrum of **2k** (400M Hz, $CDCl_3$)



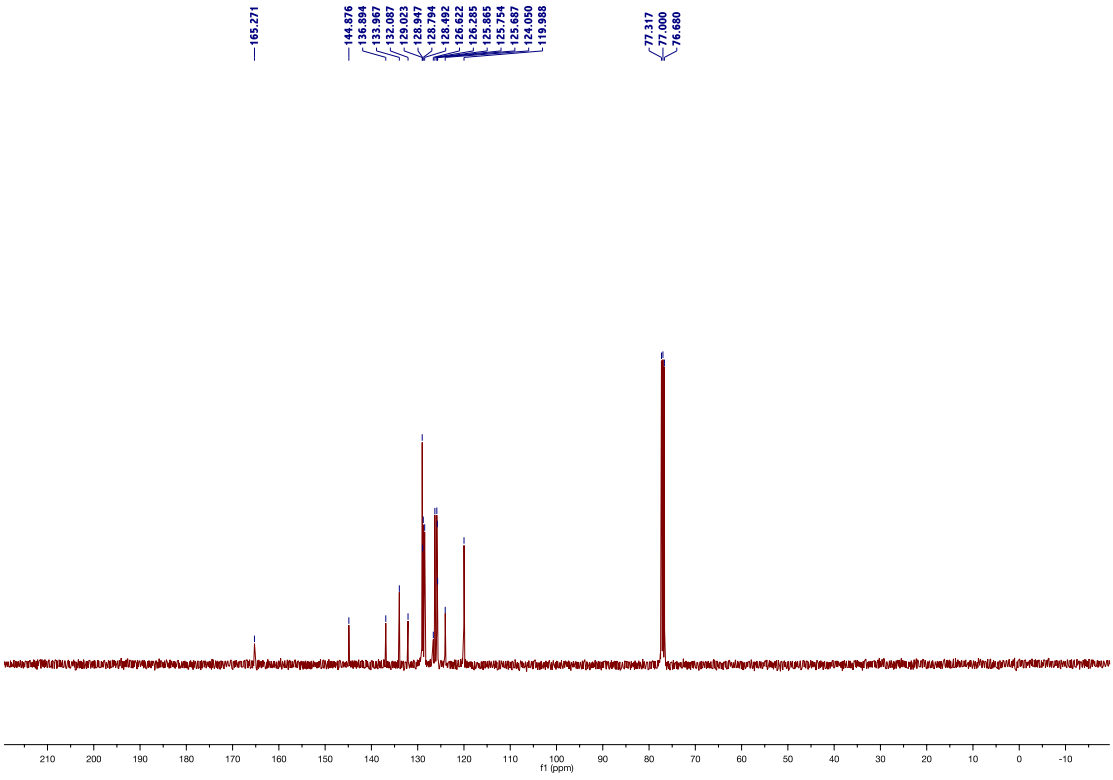
^{13}C NMR spectrum of **2k** (100M Hz, $CDCl_3$)



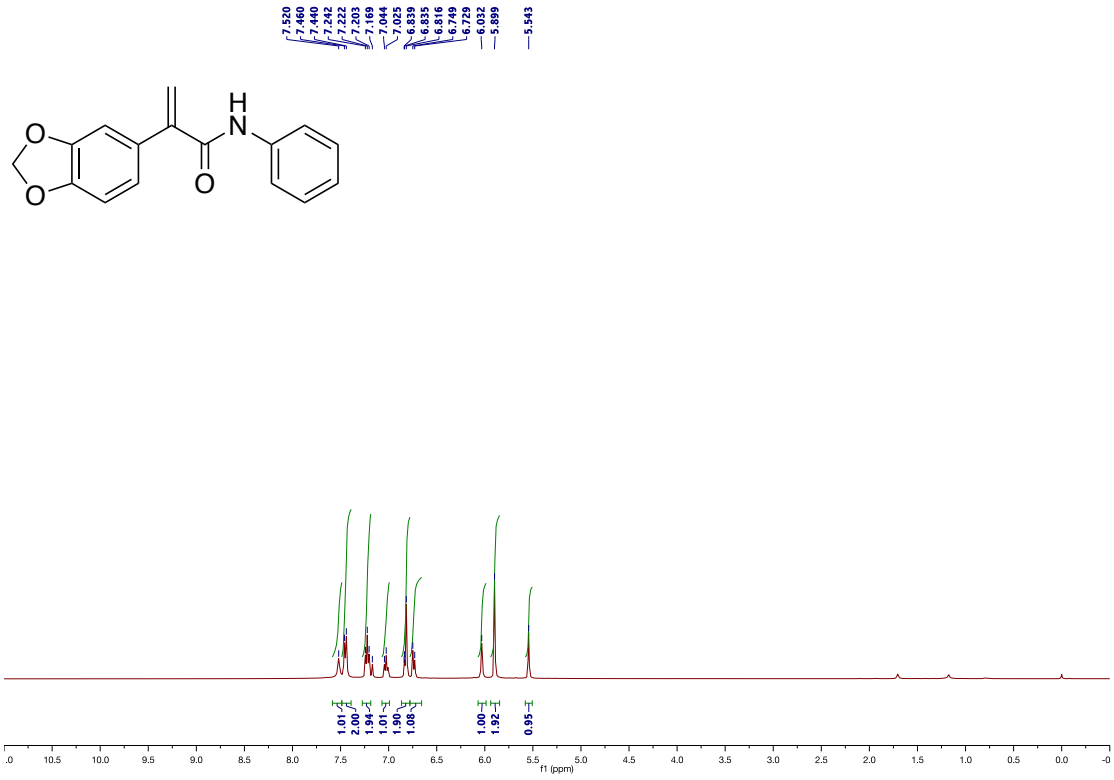
^{1}H NMR spectrum of **2l** (400M Hz, $CDCl_3$)



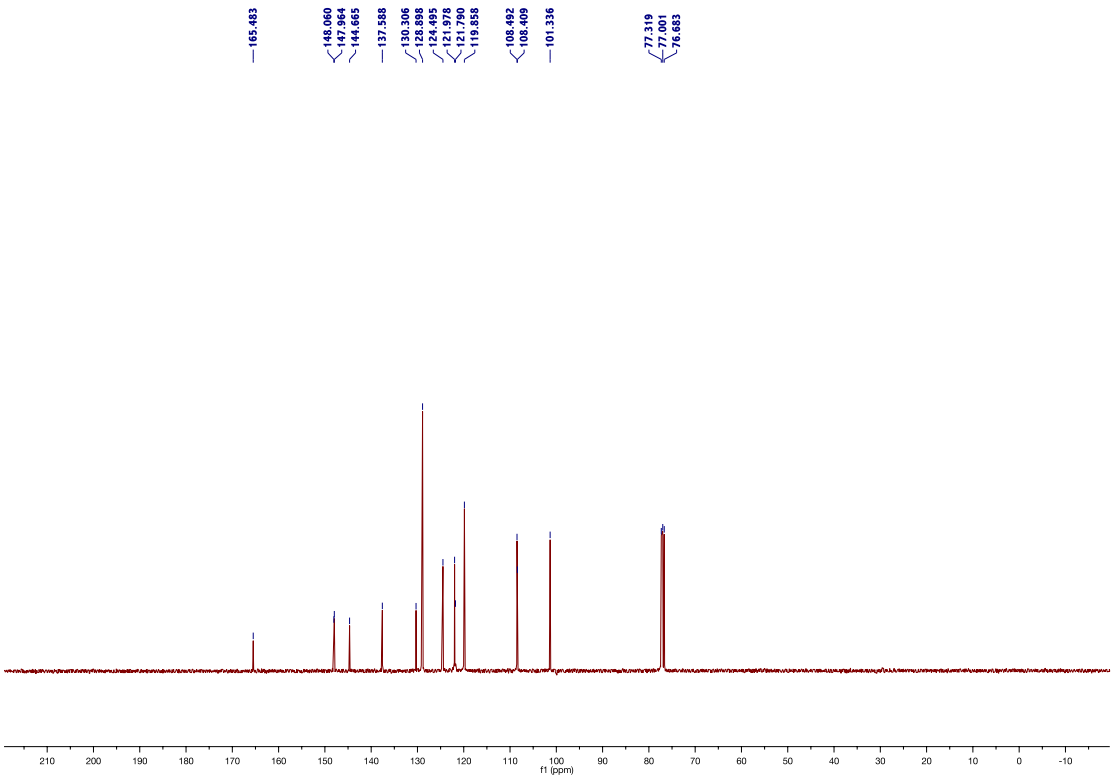
^{13}C NMR spectrum of **2l** (100M Hz, $CDCl_3$)



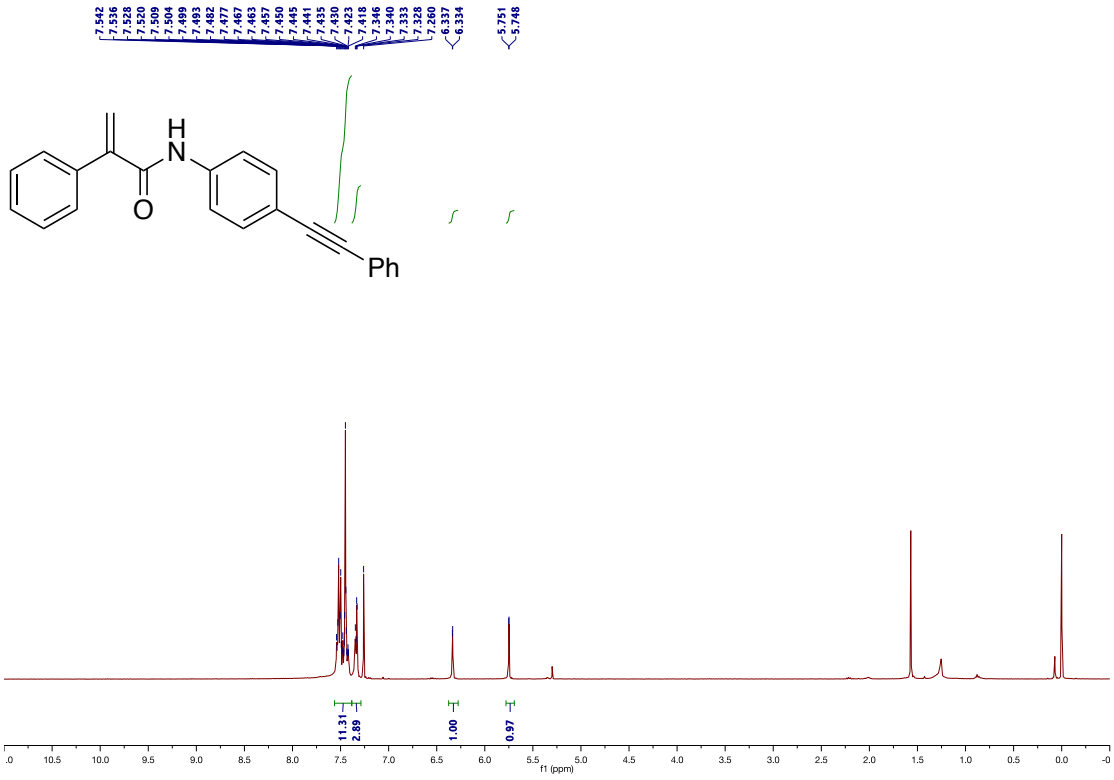
^{1}H NMR spectrum of **2m** (400M Hz, $CDCl_3$)



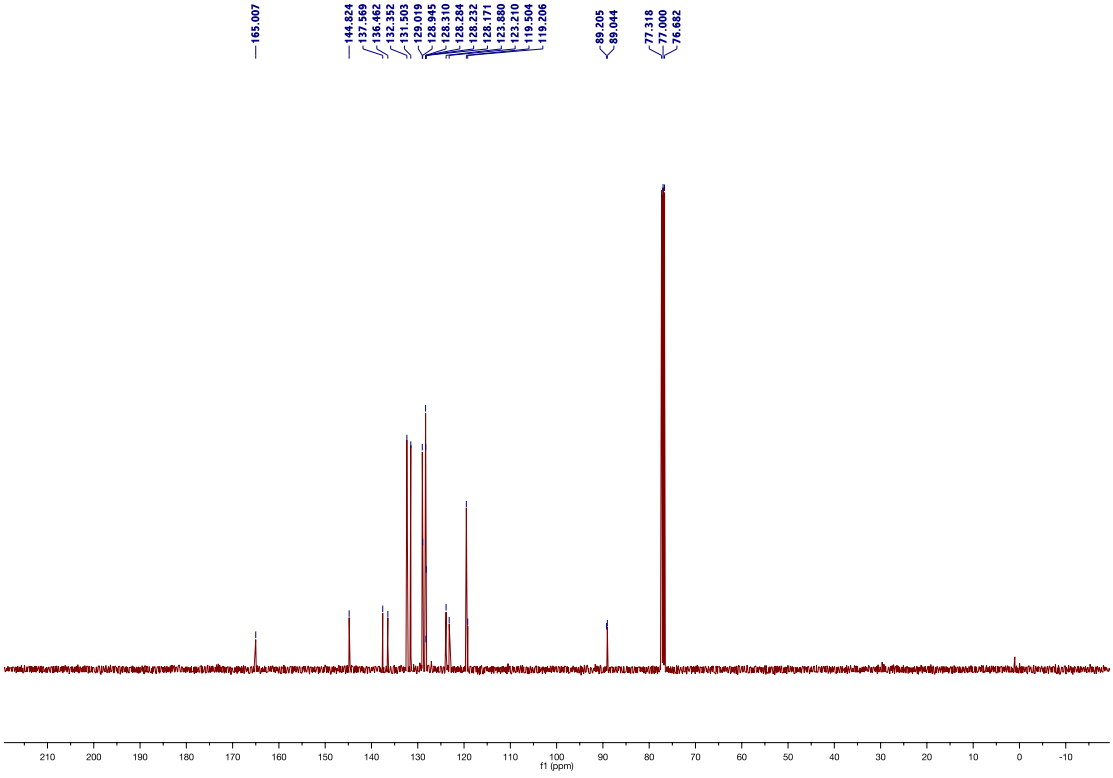
^{13}C NMR spectrum of **2m** (100M Hz, $CDCl_3$)



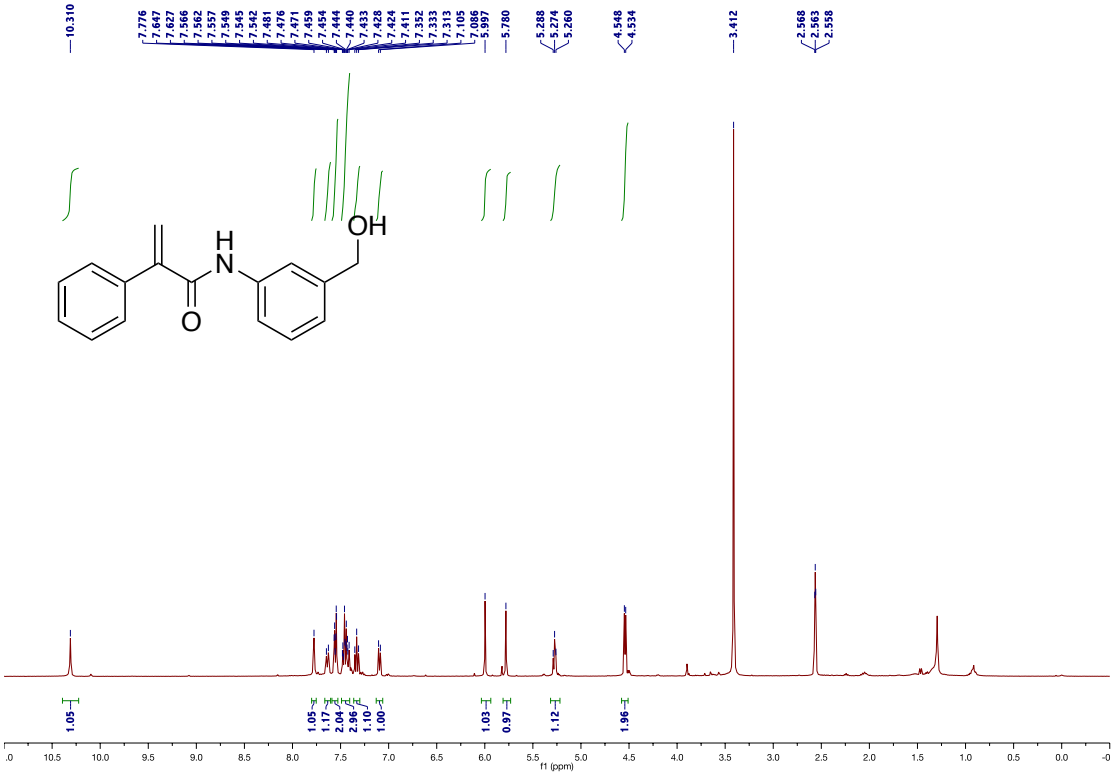
^{1}H NMR spectrum of **2n** (400M Hz, $CDCl_3$)



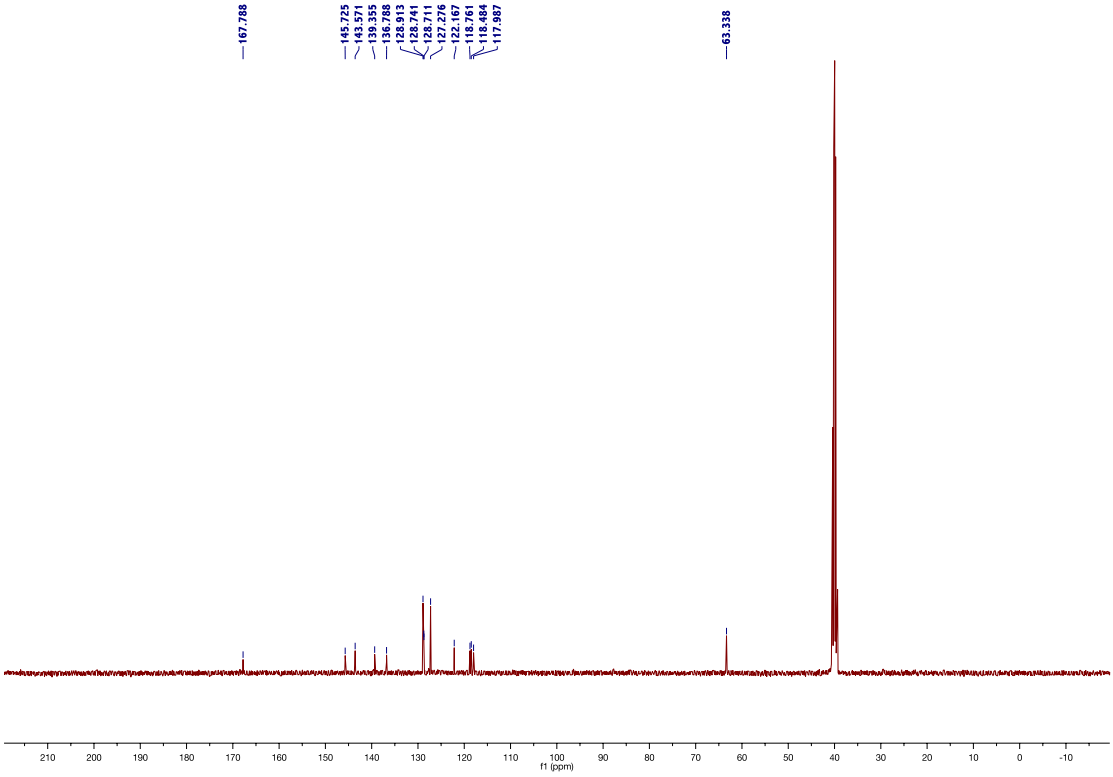
^{13}C NMR spectrum of **2n** (100M Hz, $CDCl_3$)



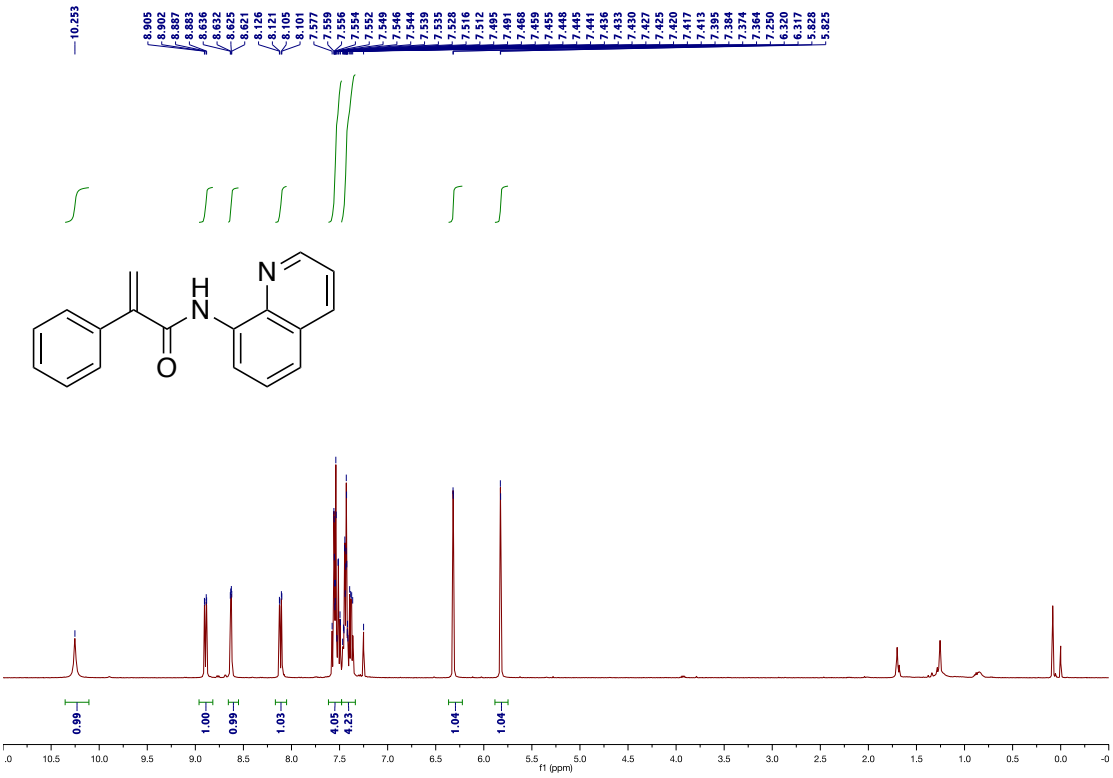
^{1}H NMR spectrum of **2o** (400M Hz, DMSO-d_6)



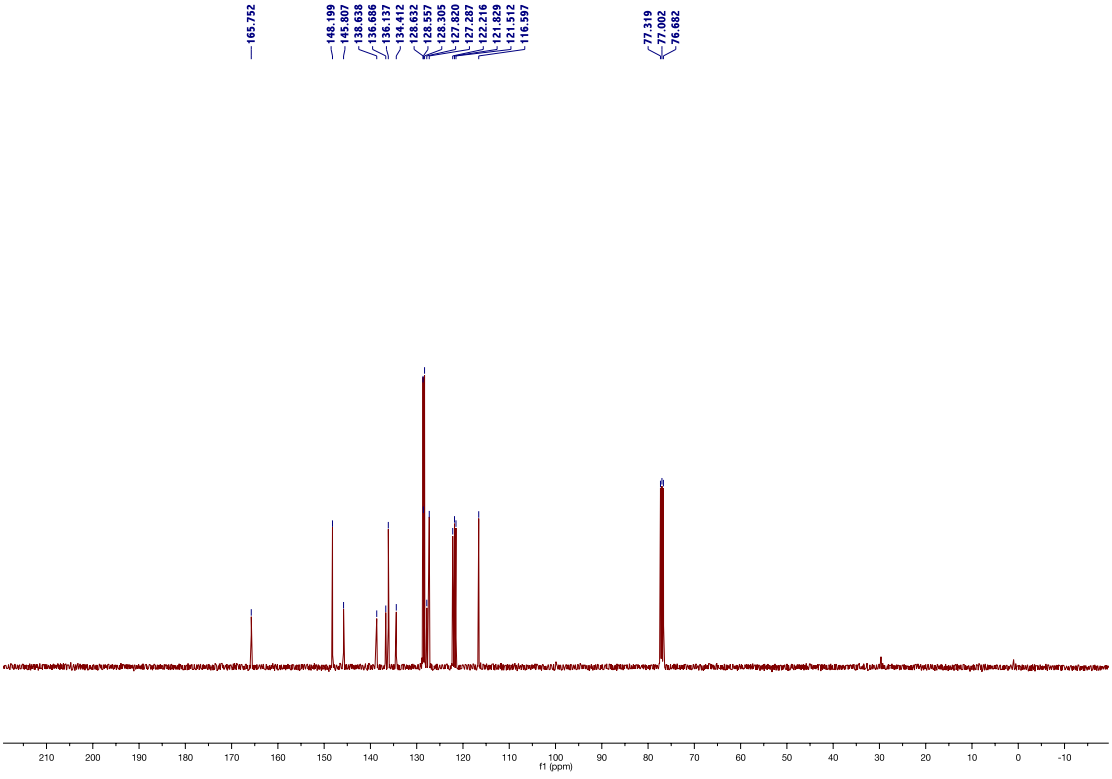
^{13}C NMR spectrum of **2o** (100M Hz, DMSO-d_6)



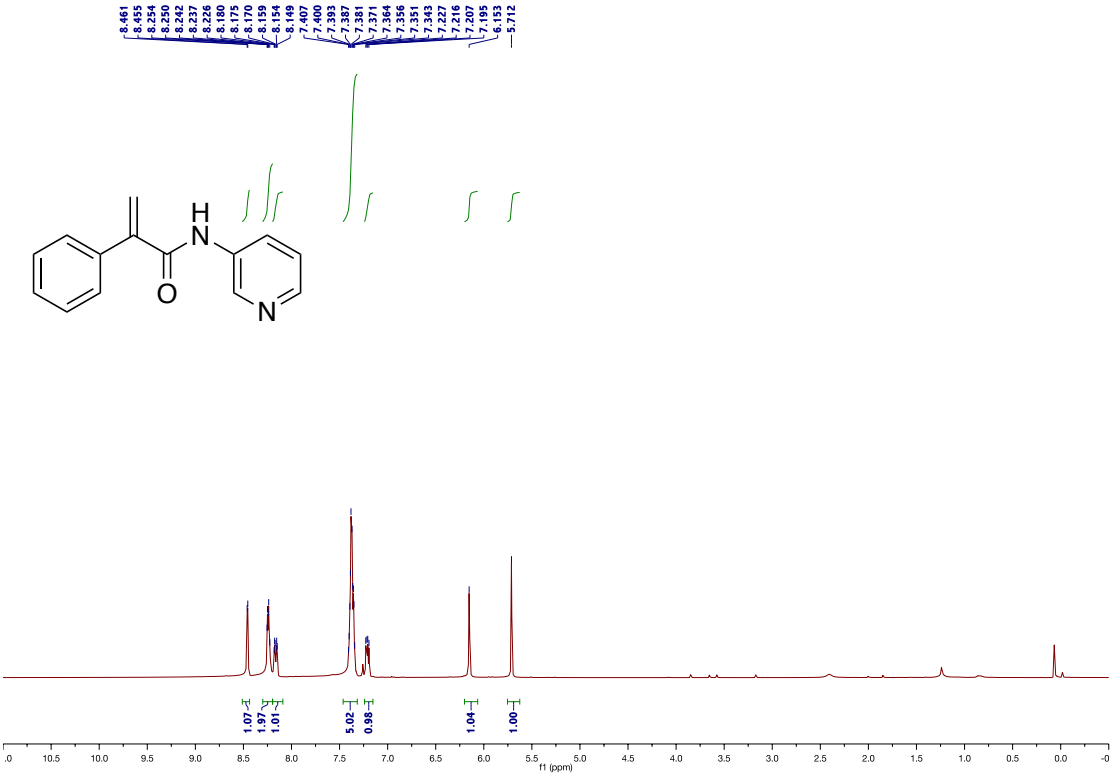
^{1}H NMR spectrum of **2p** (400M Hz, $CDCl_3$)



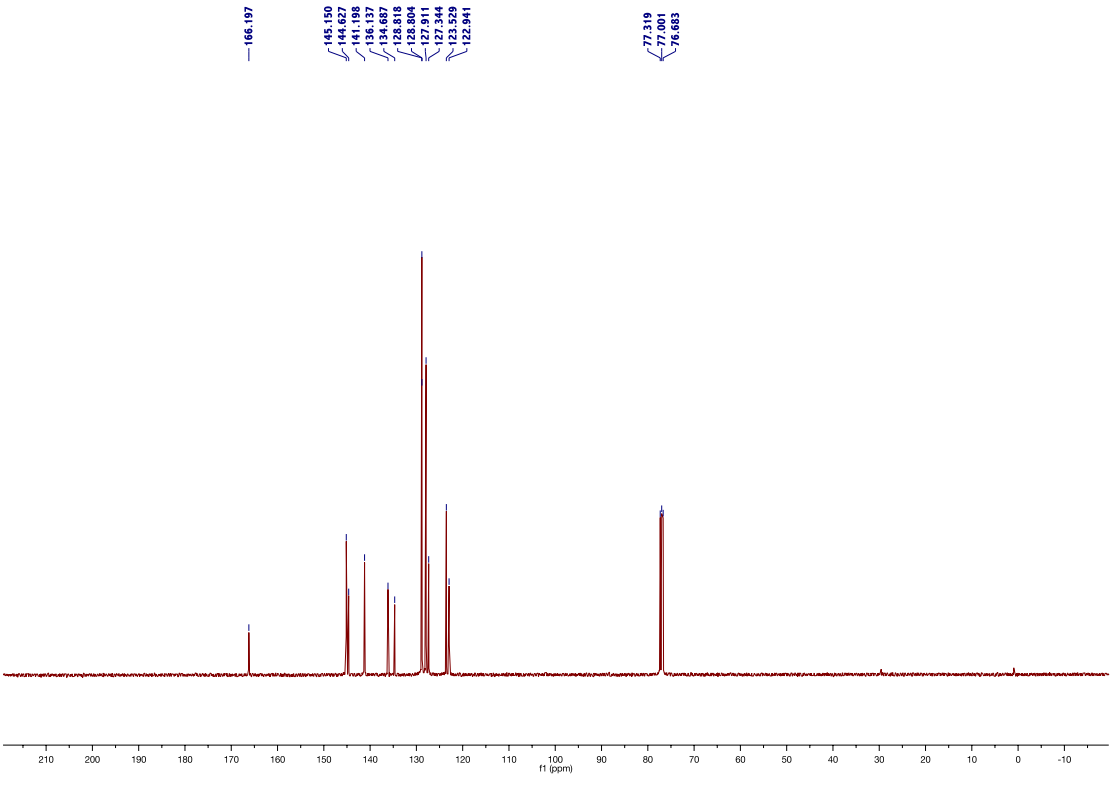
^{13}C NMR spectrum of **2p** (100M Hz, $CDCl_3$)



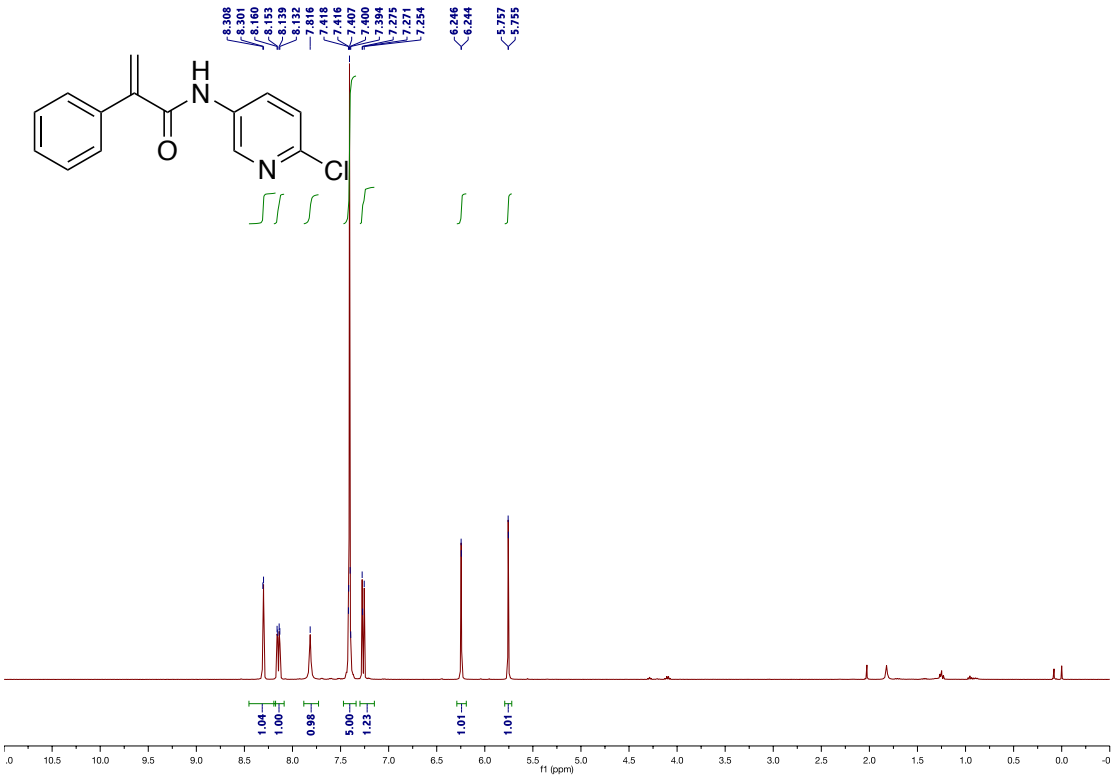
^{1}H NMR spectrum of **2q** (400M Hz, $CDCl_3$)



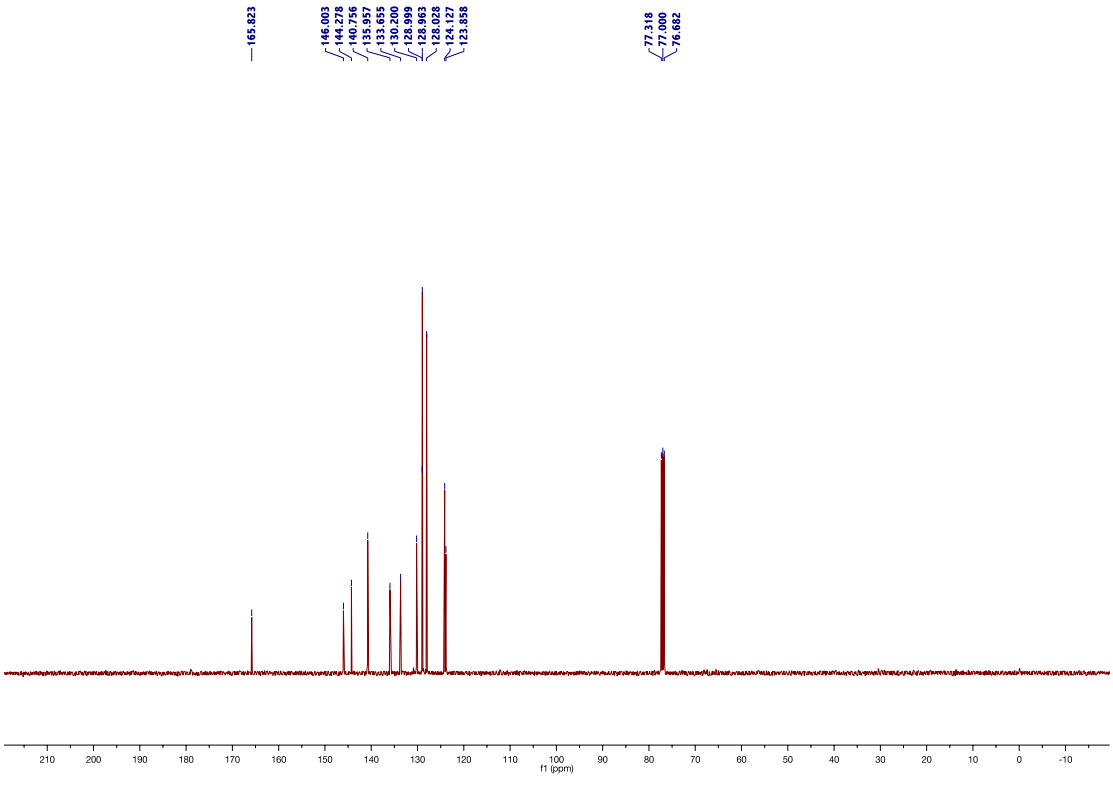
^{13}C NMR spectrum of **2q** (100M Hz, $CDCl_3$)



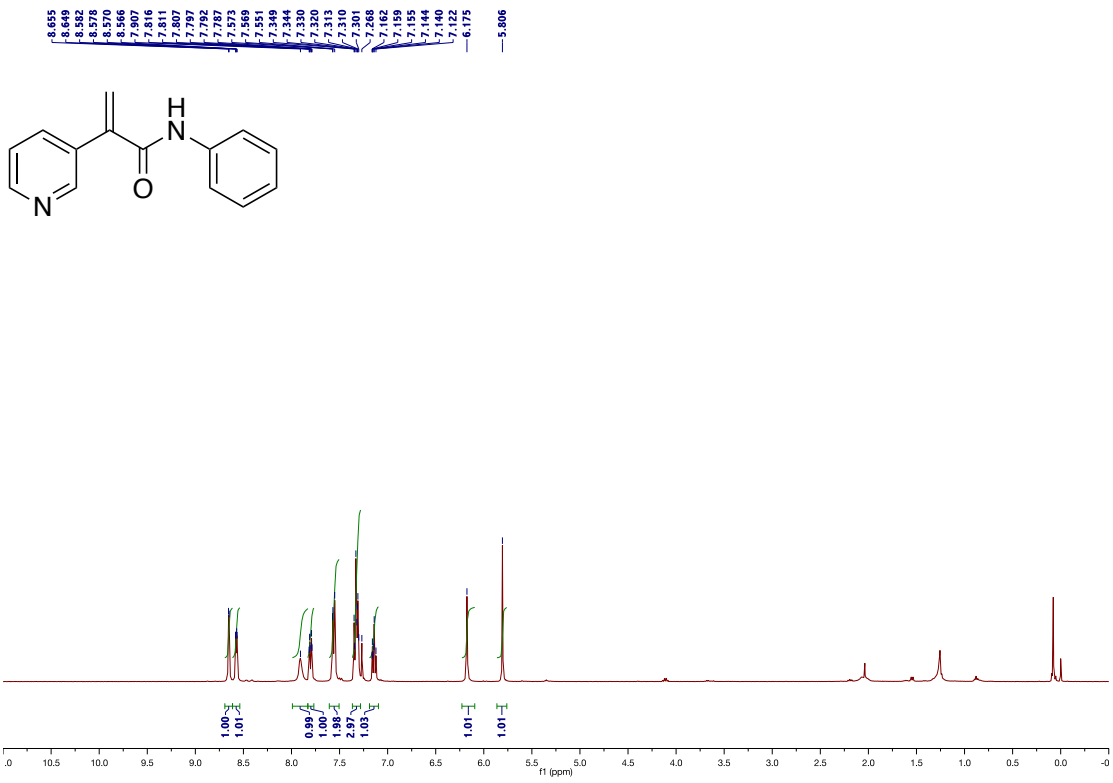
^{1}H NMR spectrum of **2r** (400M Hz, $CDCl_3$)



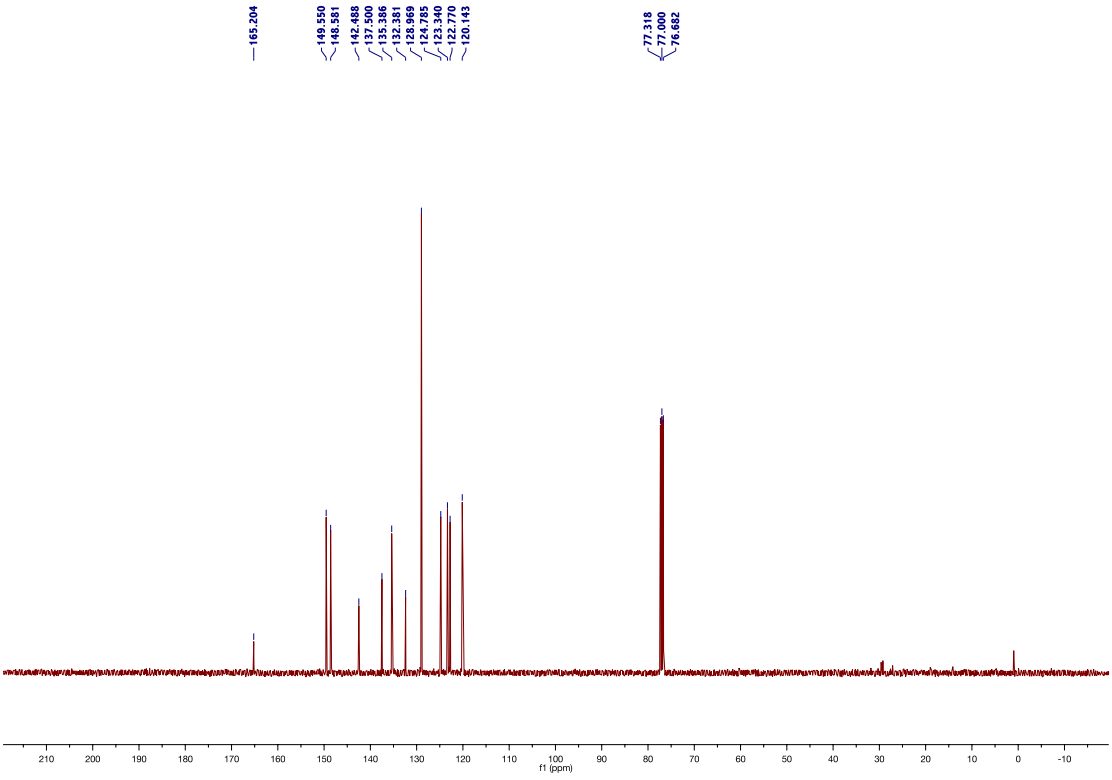
^{13}C NMR spectrum of **2r** (100M Hz, $CDCl_3$)



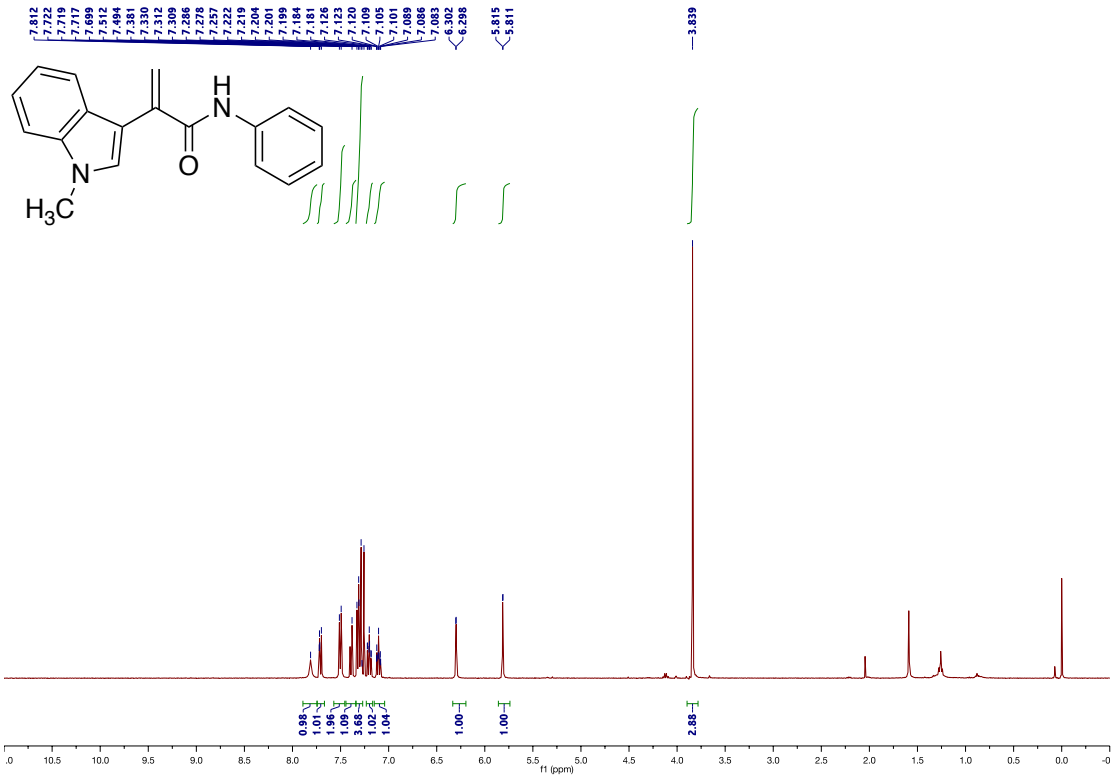
^{1}H NMR spectrum of **2s** (400M Hz, $CDCl_3$)



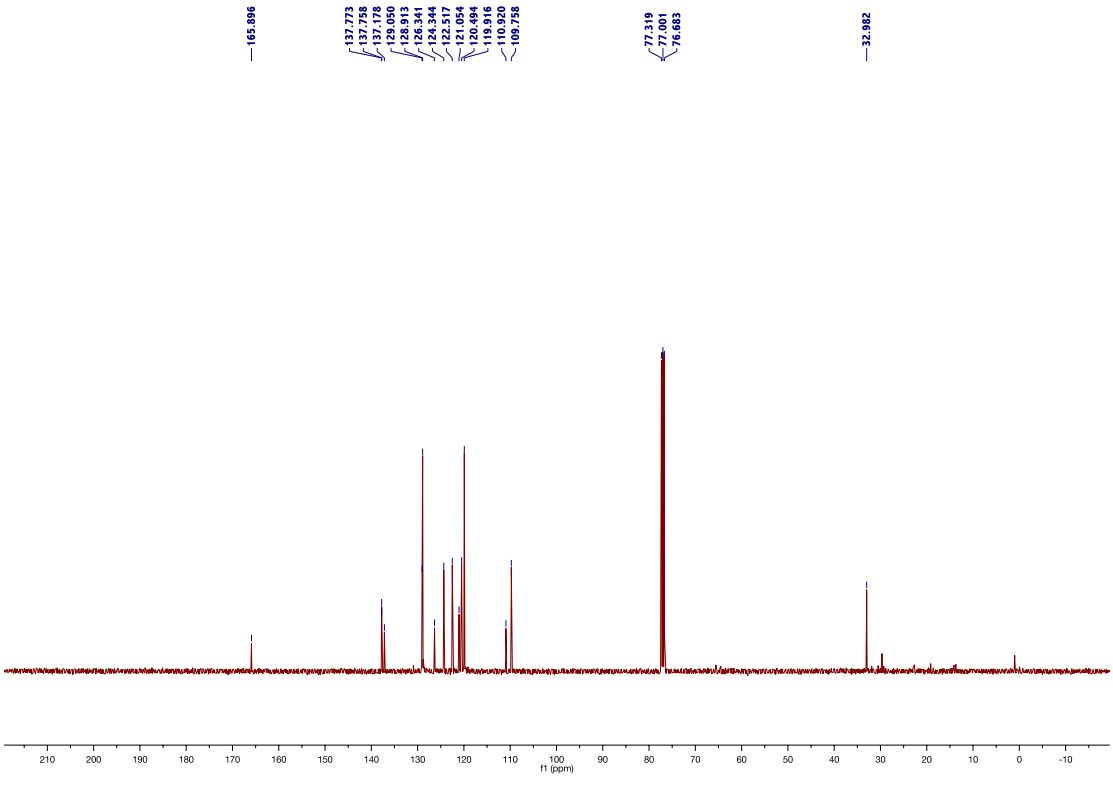
^{13}C NMR spectrum of **2s** (100M Hz, $CDCl_3$)



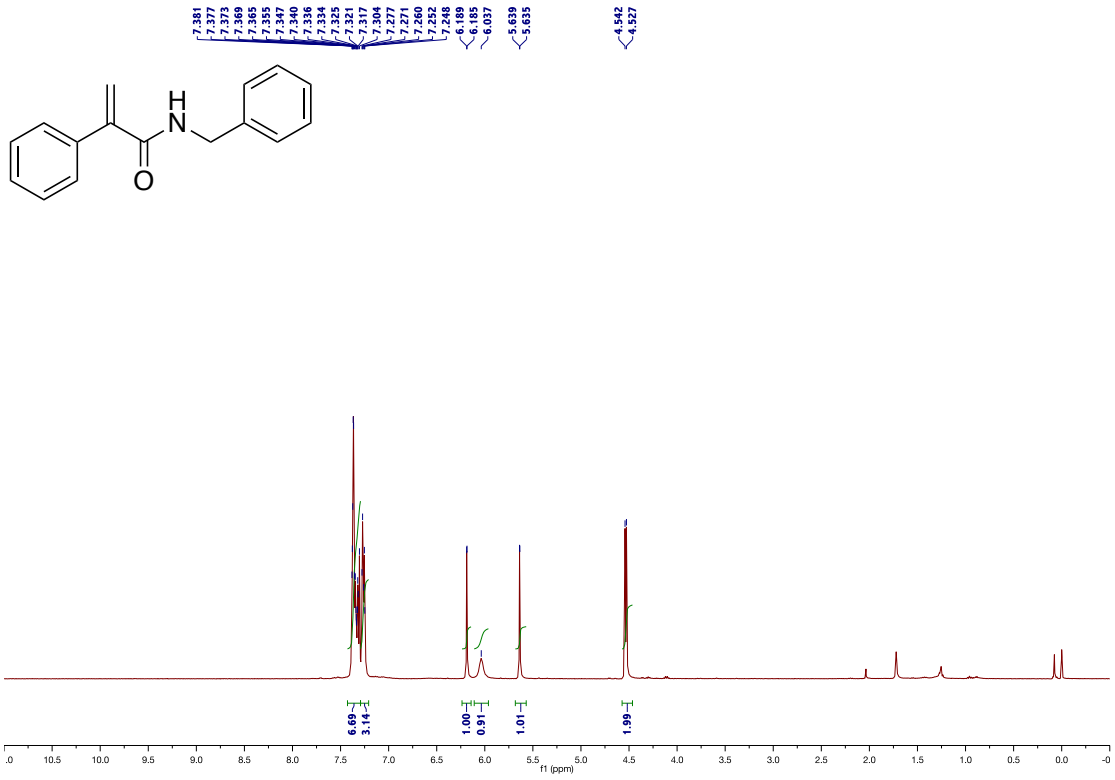
^{1}H NMR spectrum of **2t** (400M Hz, $CDCl_3$)



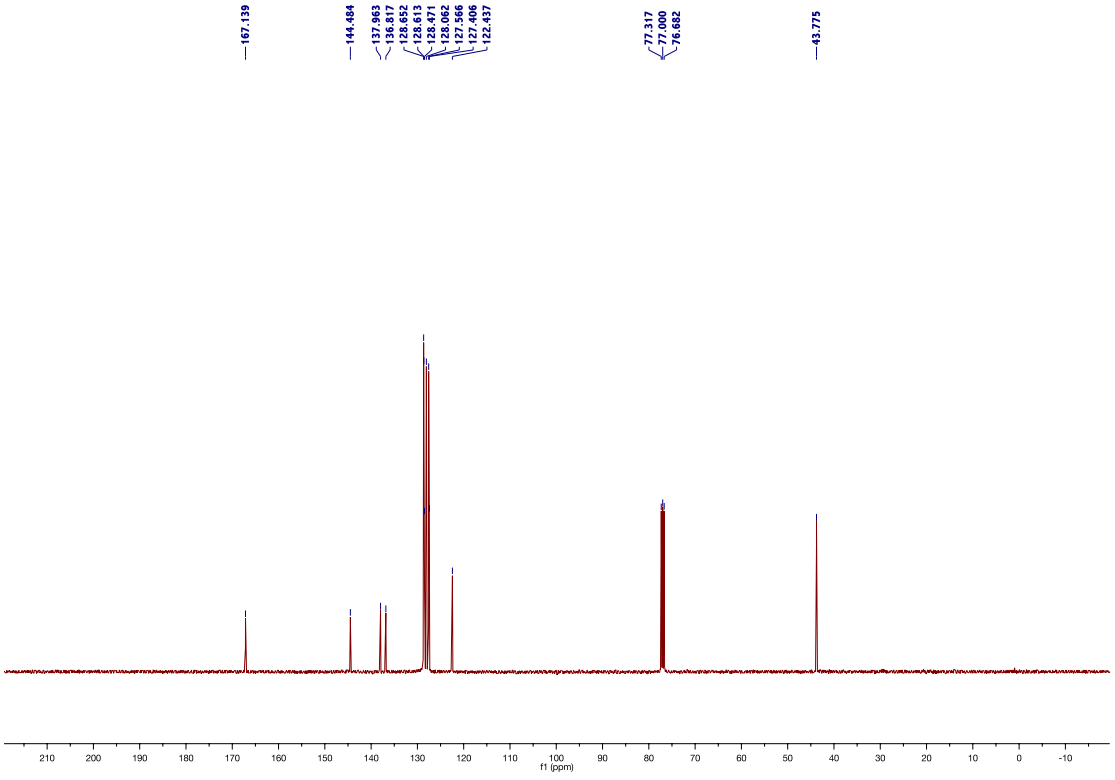
^{13}C NMR spectrum of **2t** (100M Hz, $CDCl_3$)



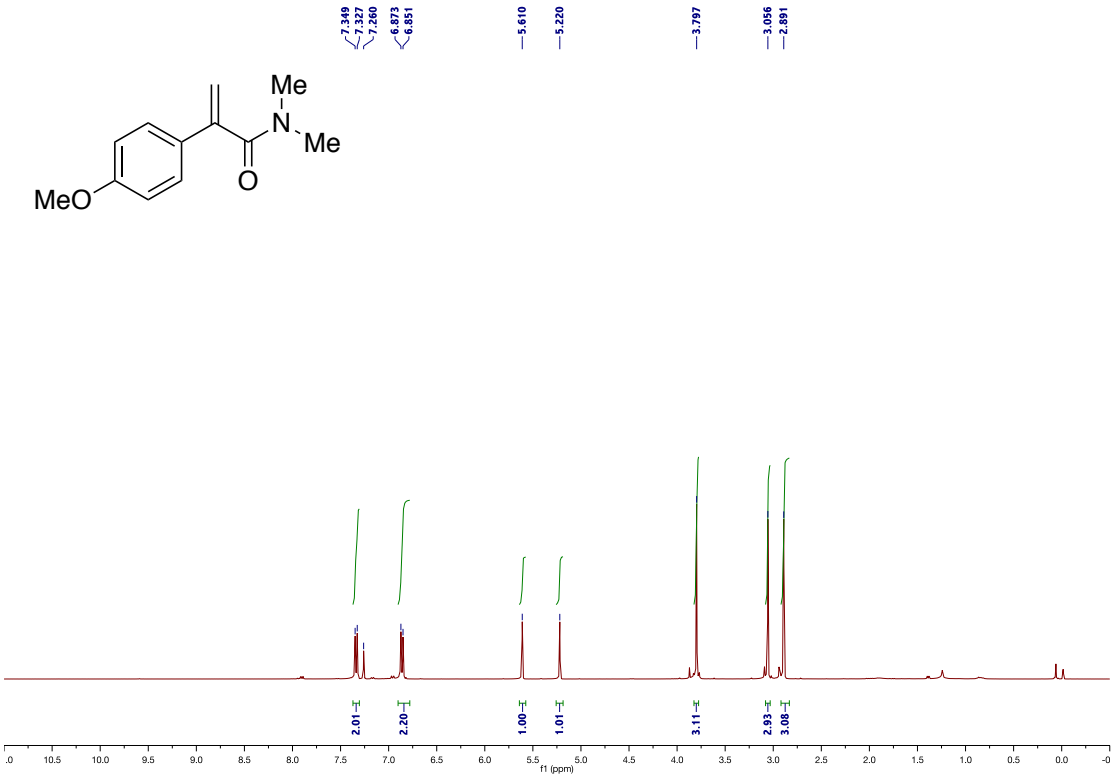
^{1}H NMR spectrum of **2u** (400M Hz, $CDCl_3$)



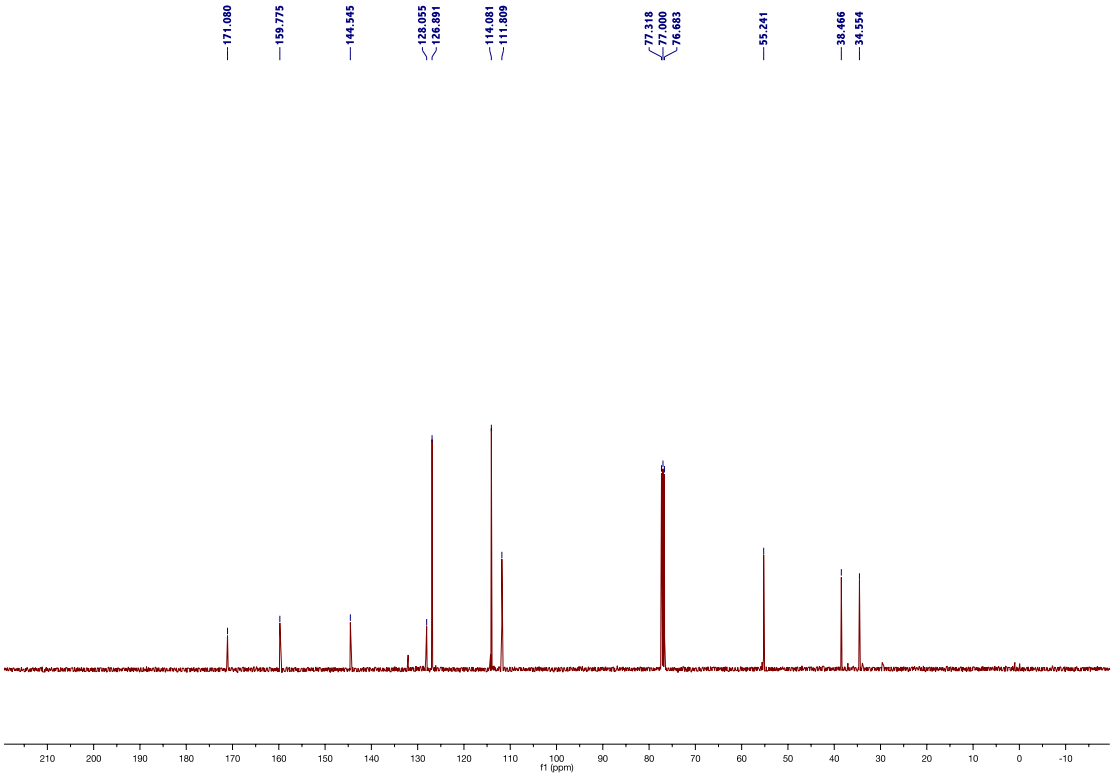
^{13}C NMR spectrum of **2u** (100M Hz, $CDCl_3$)



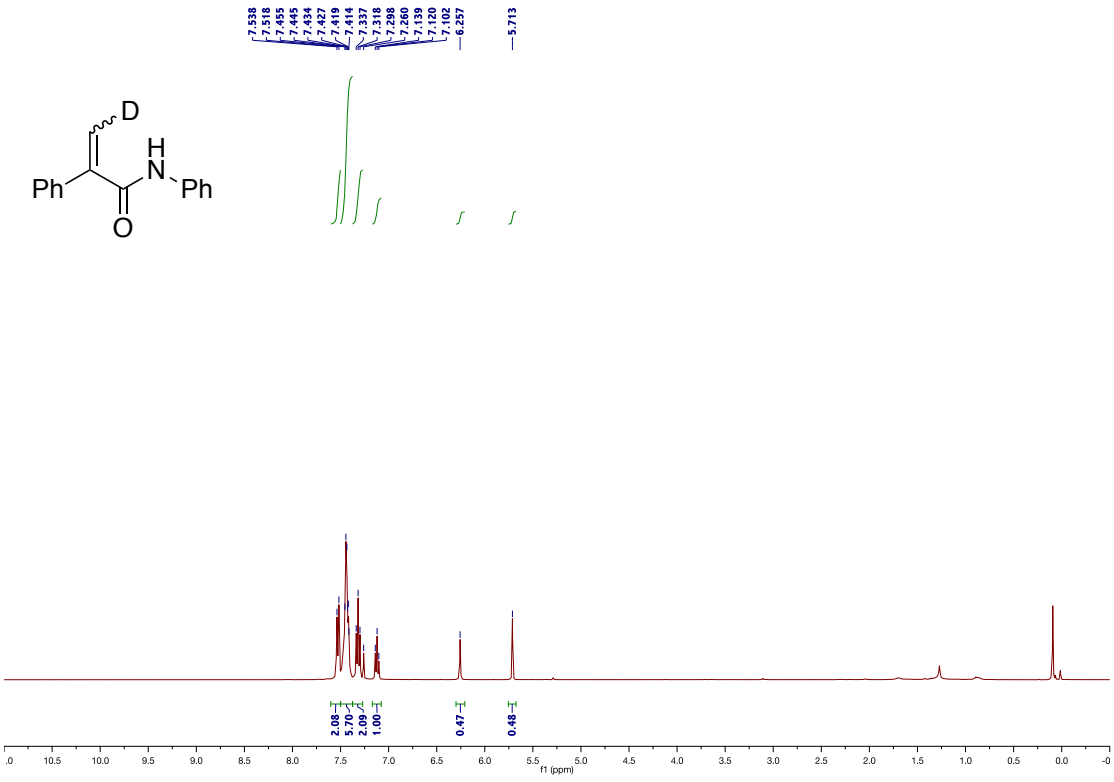
^{1}H NMR spectrum of **2v** (400M Hz, $CDCl_3$)



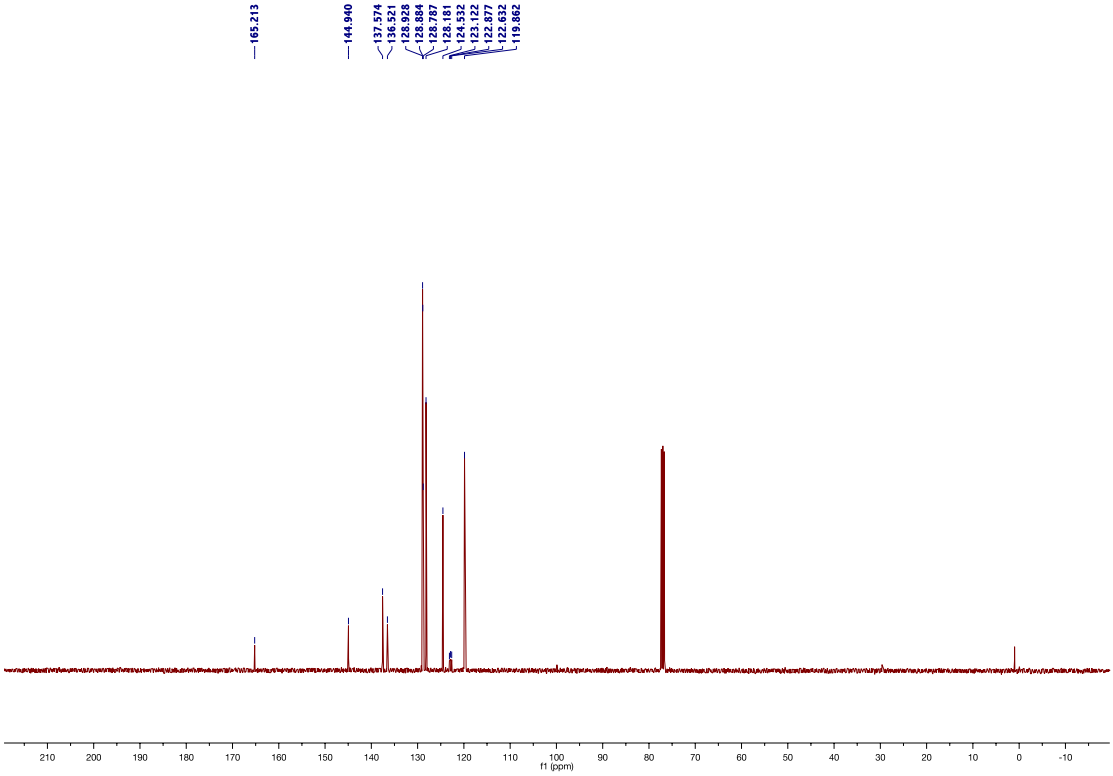
^{13}C NMR spectrum of **2v** (100M Hz, $CDCl_3$)



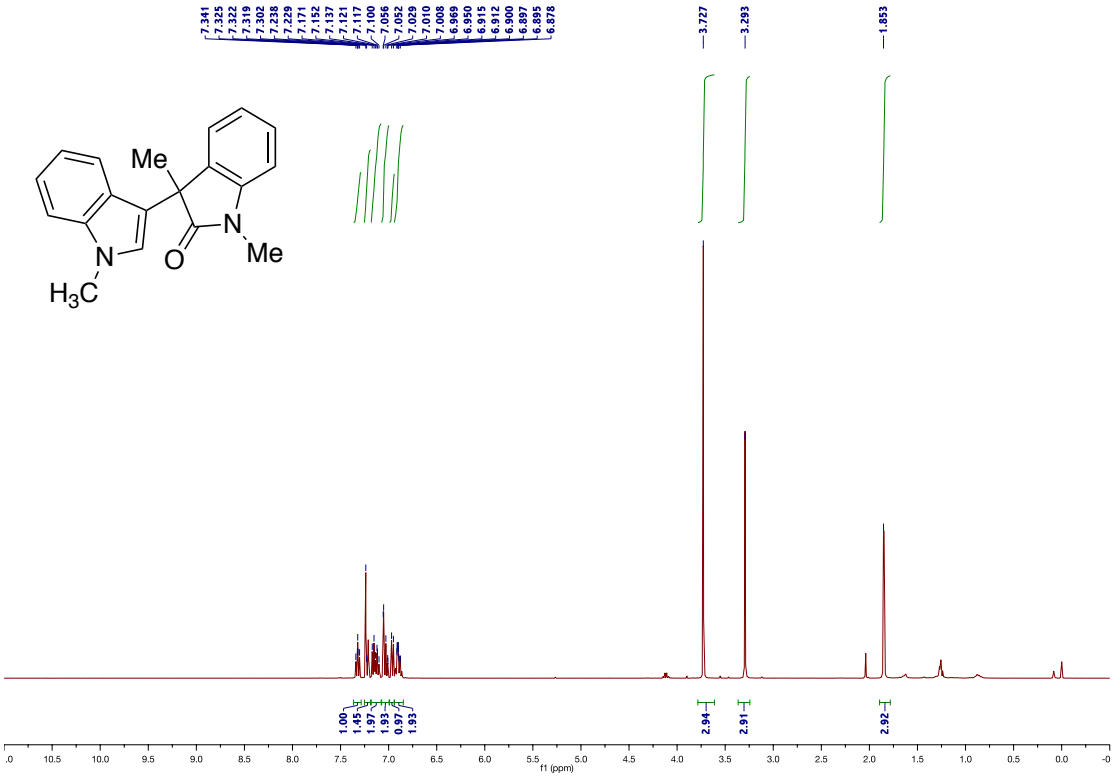
^{1}H NMR spectrum of **2a-D$_1$** (400M Hz, $CDCl_3$)



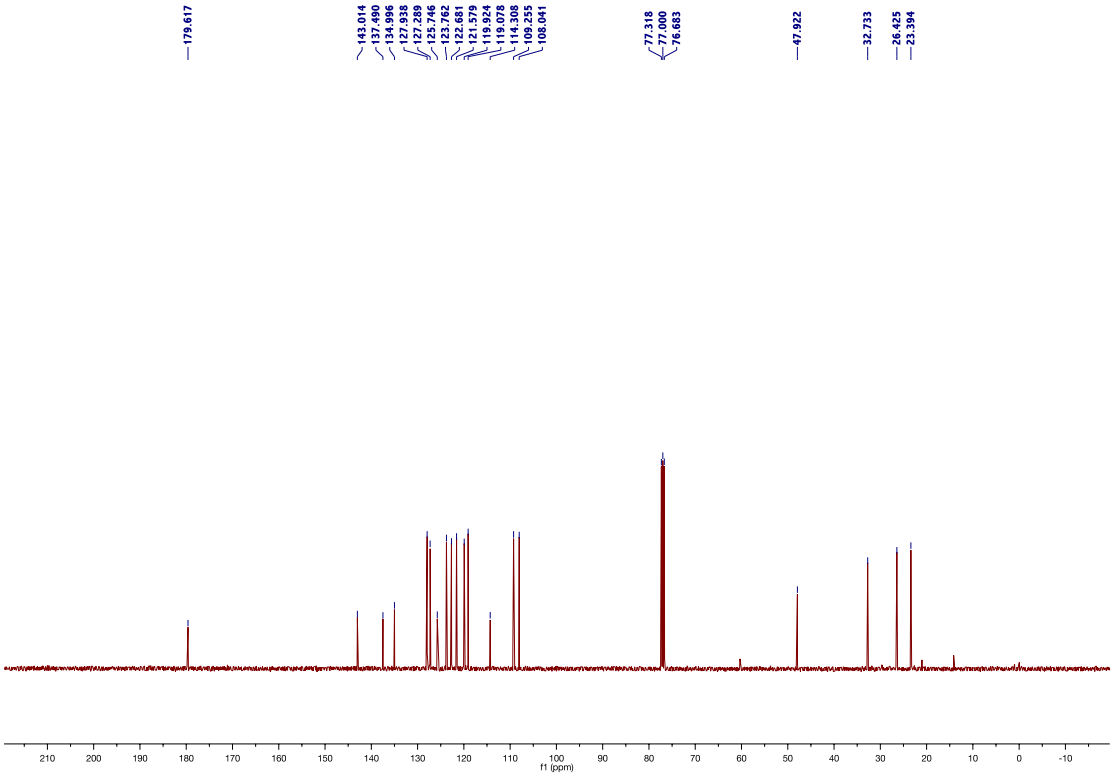
^{13}C NMR spectrum of **2a-D$_1$** (100M Hz, $CDCl_3$)



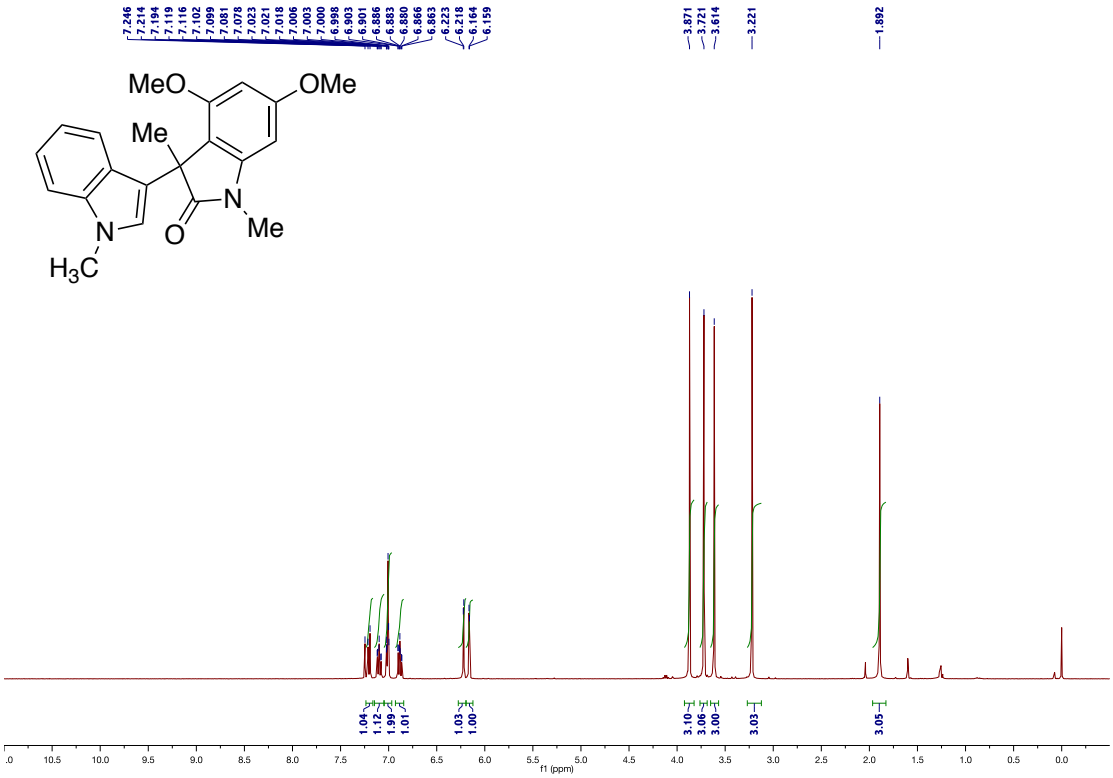
^{1}H NMR spectrum of **3a** (400M Hz, $CDCl_3$)



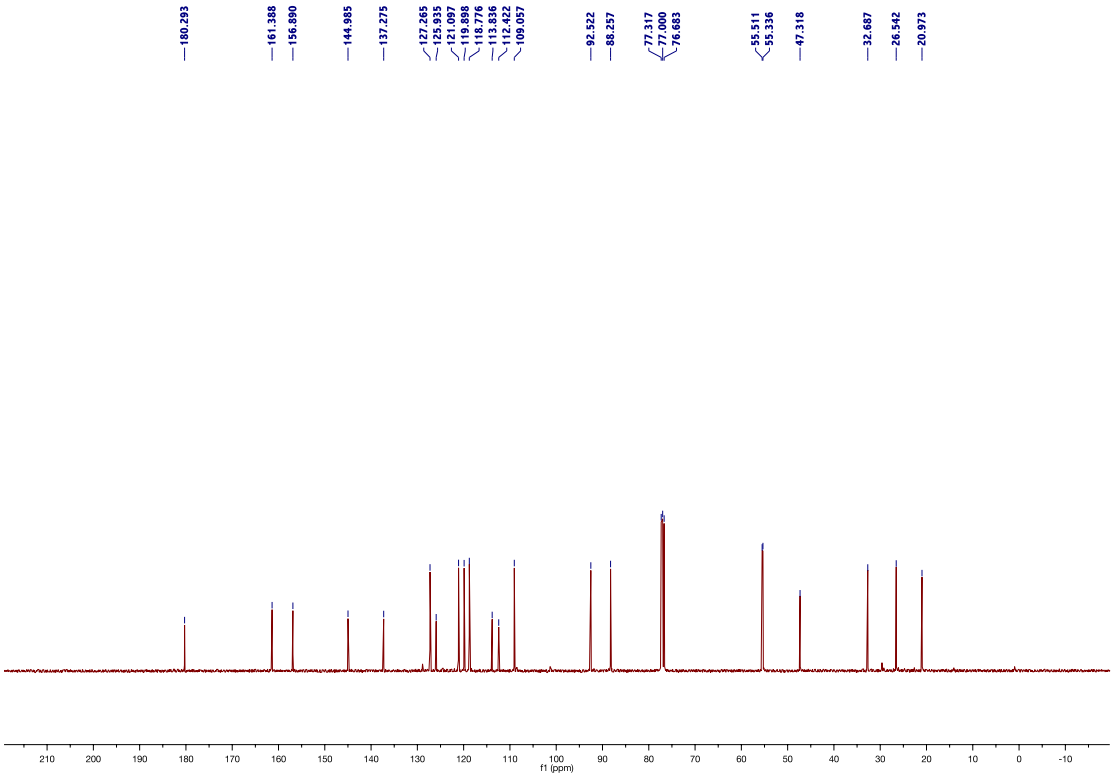
^{13}C NMR spectrum of **3a** (100M Hz, $CDCl_3$)



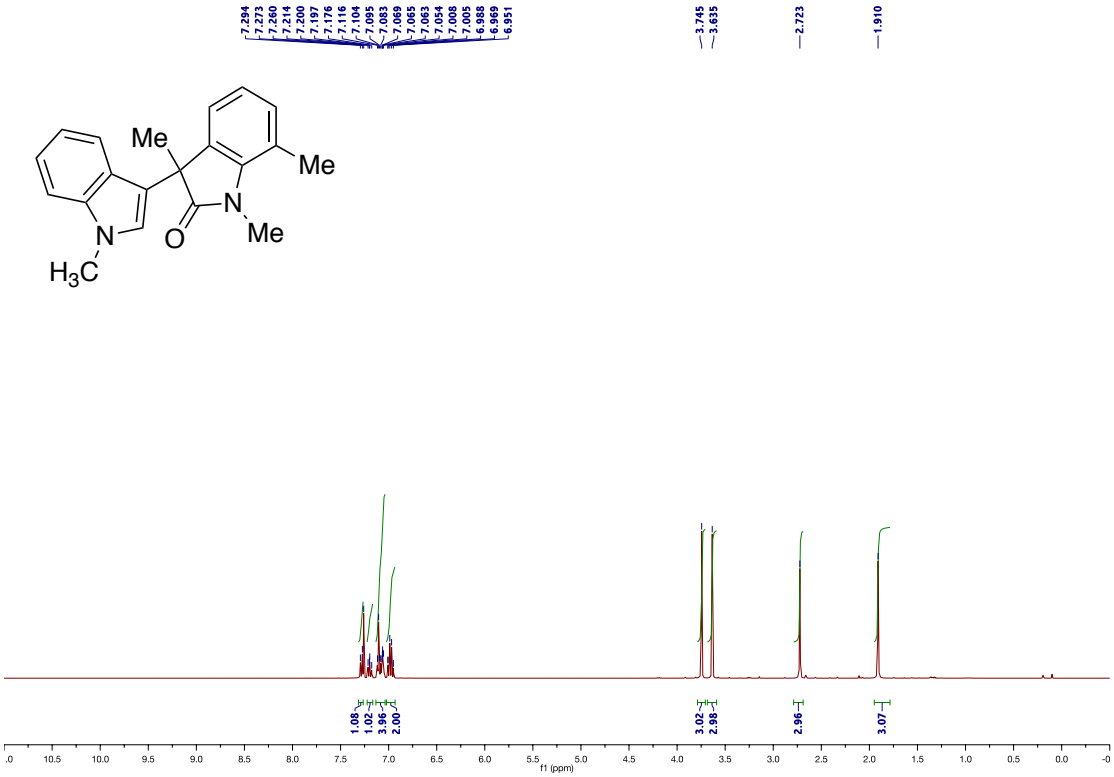
^{1}H NMR spectrum of **3b** (400M Hz, $CDCl_3$)



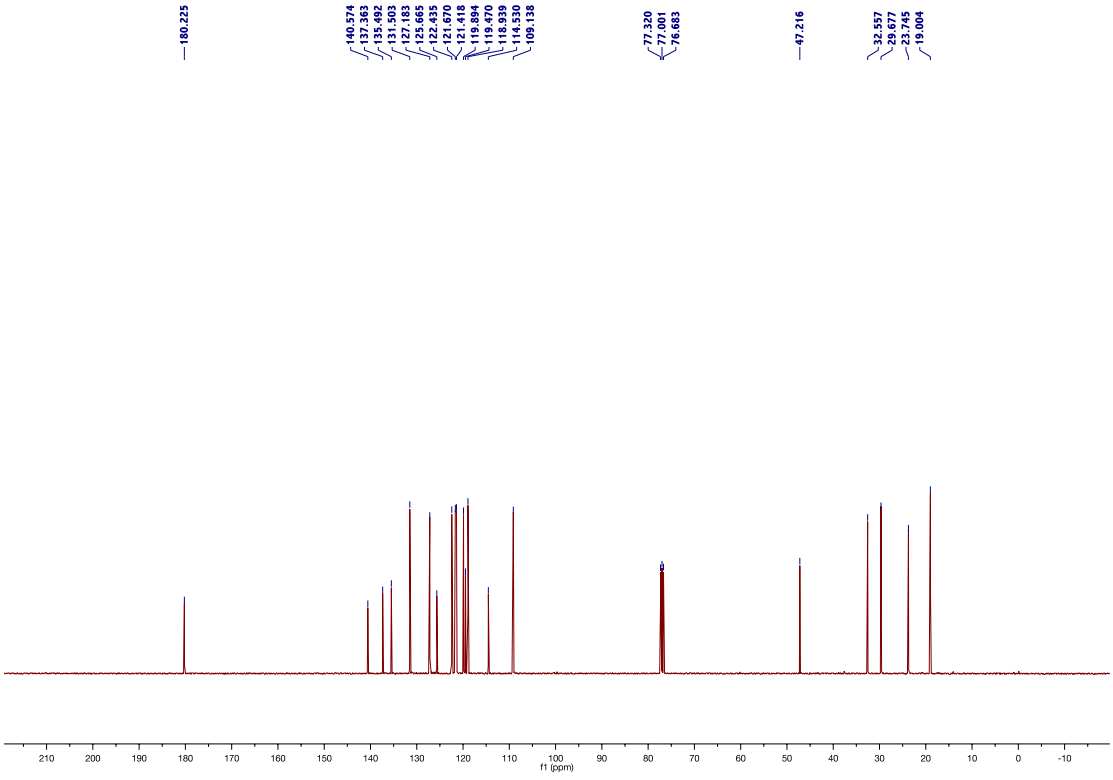
^{13}C NMR spectrum of **3b** (100M Hz, $CDCl_3$)



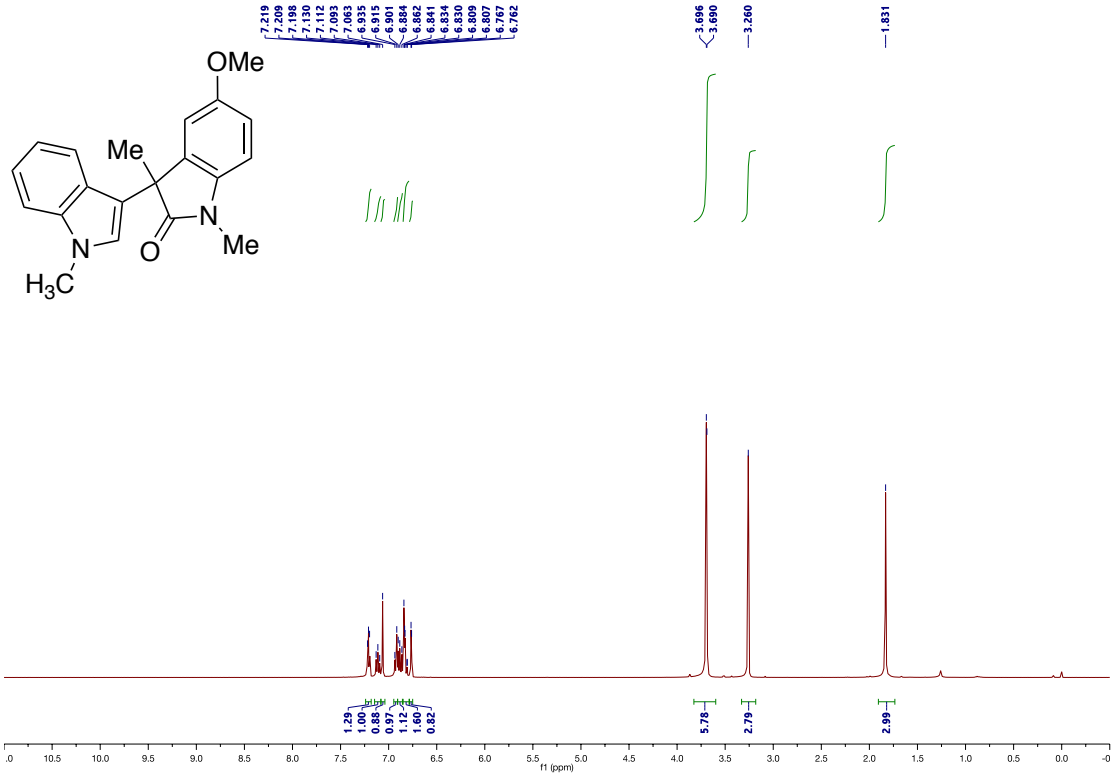
^{1}H NMR spectrum of **3c** (400M Hz, $CDCl_3$)



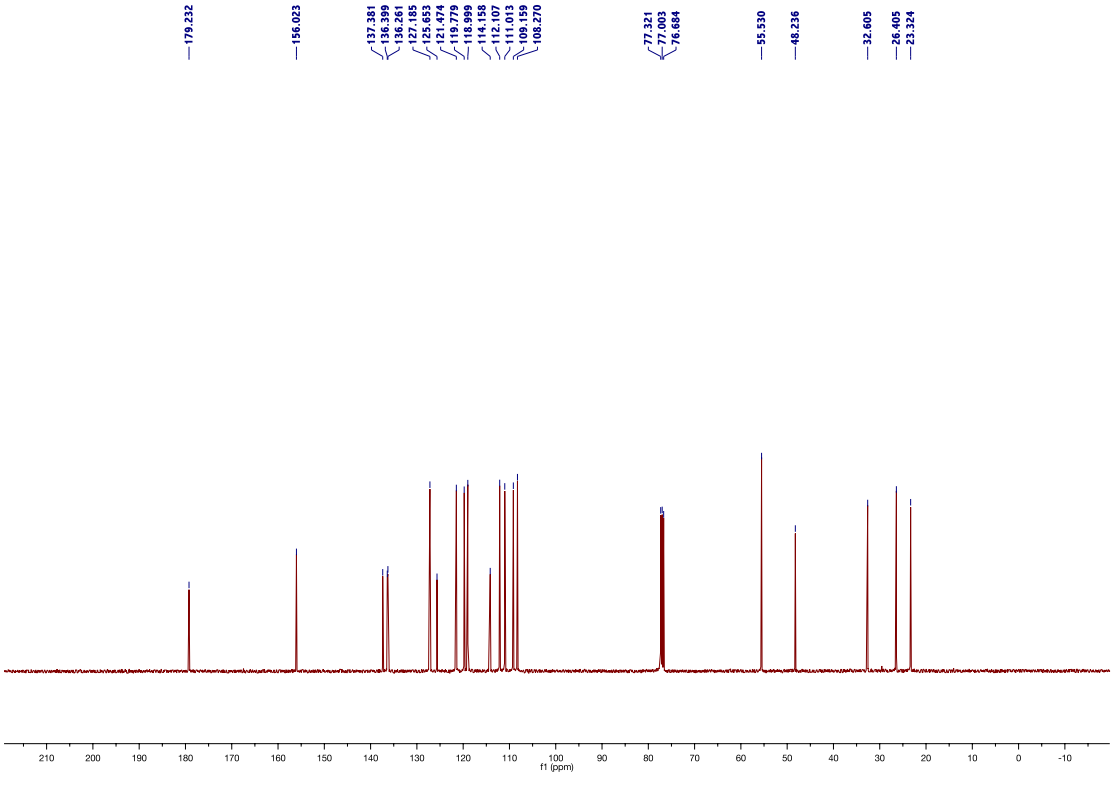
^{13}C NMR spectrum of **3c** (100M Hz, $CDCl_3$)



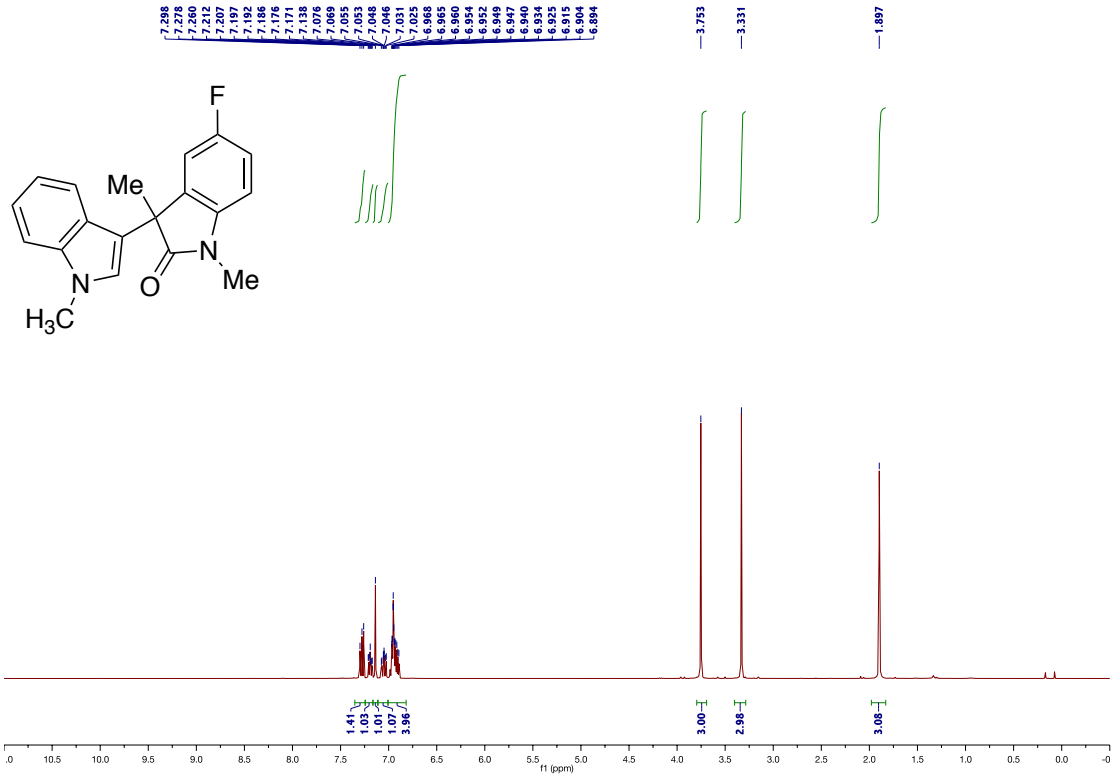
^{1}H NMR spectrum of **3d** (400M Hz, $CDCl_3$)



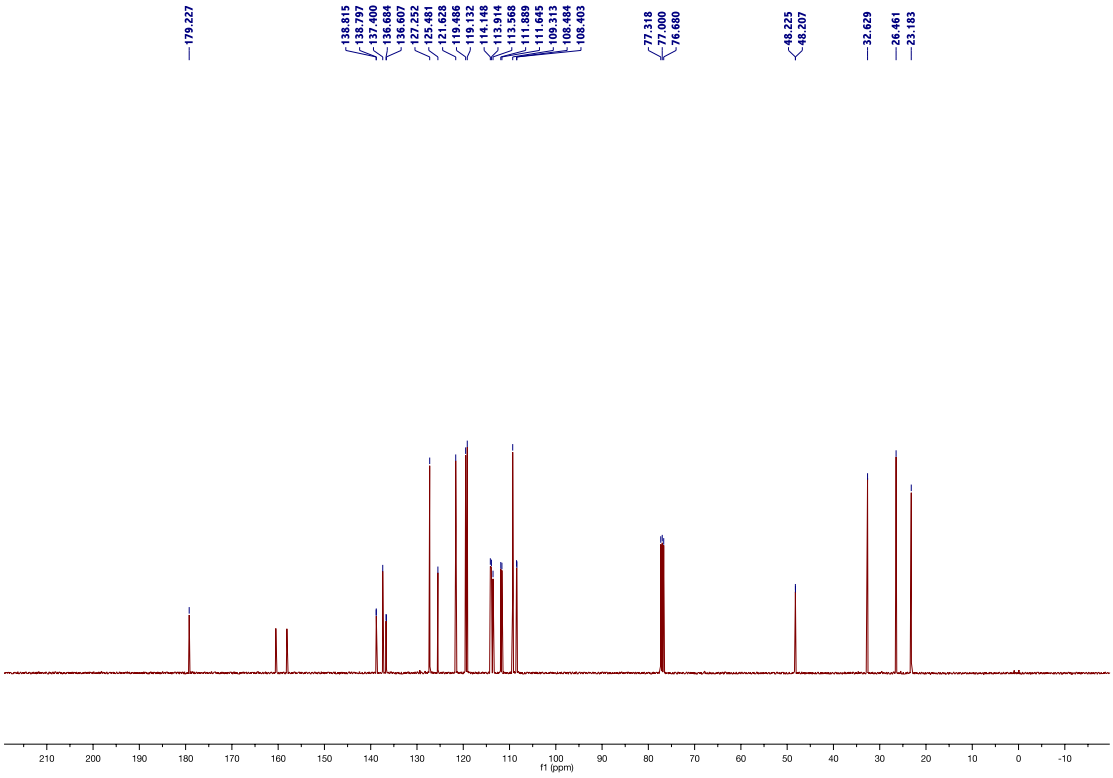
^{13}C NMR spectrum of **3d** (100M Hz, $CDCl_3$)



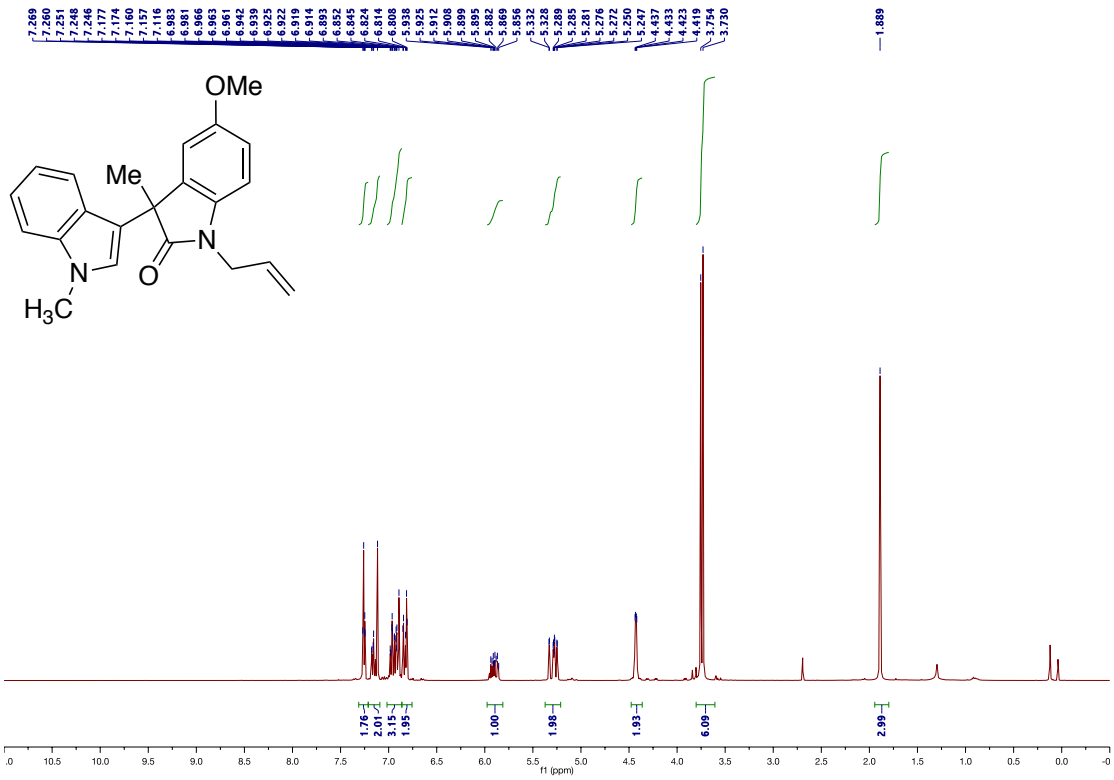
^{1}H NMR spectrum of **3e** (400M Hz, $CDCl_3$)



^{13}C NMR spectrum of **3e** (100M Hz, $CDCl_3$)



^{1}H NMR spectrum of **3f** (400M Hz, $CDCl_3$)



^{13}C NMR spectrum of **3f** (100M Hz, $CDCl_3$)