Supporting Information

Pd-catalyzed Markovnikov selective oxidative amination of 4-pentenoic acid

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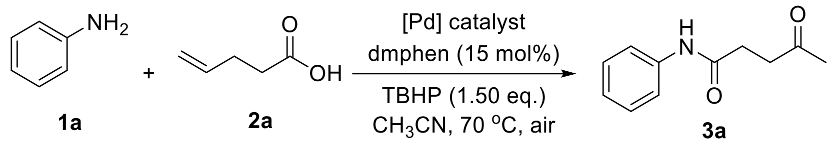
1. General information

All chemicals were purchased from Alfa Aesar, Adams-beta, TCI, J&K, Energy and Bidepharm, and used as received unless otherwise stated. All the heating reactions were heated in a heating mantle. Analytical thin-layer chromatography was performed using commercially prepared 100-400 mesh silica gel plates (GF254), and visualization was effected at 254 nm. ^{1}H and ^{13}C NMR spectra were recorded using a Bruker DRX-400 spectrometer using $CDCl_3$ as solvent. The chemical shifts are referenced to signals at 7.26 and 77.0 ppm, respectively. Mass spectra were recorded on a Thermo Scientific ISQ gas chromatograph-mass spectrometer. The data of HRMS was carried out on a high-resolution mass spectrometer (LCMS-IT-TOF). IR spectra were obtained either as potassium bromide pellets or as liquid films between two potassium bromide pellets with a Bruker TENSOR 27 spectrometer. Melting points were determined with a Büchi Melting Point B-545 instrument.

2. Optimization of Reaction Conditions

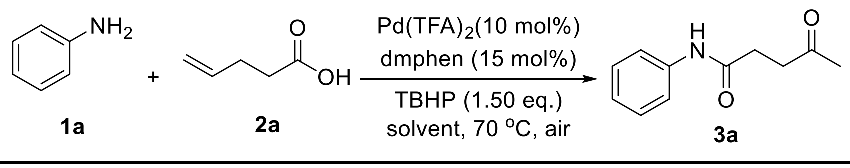
Table S1. Screening of palladium catalyst[a]



Entry	[Pd] catalyst	Yield(%)[b]
1	$Pd(TFA)_2$	45
2	$Pd(OAc)_2$	42
3	$PdBr_2$	n.d.
4	$PdCl_2$	n.d.
5	$Pd(dba)_2$	n.d.
6	$Pd(PPh_3)_4$	n.d.

[a]Reaction conditions: **1a** (0.75 mmol), **2a** (0.25 mmol), [Pd] (10 mol%), dmphen (15 mol%), CH_3CN (0.5 mL), TBHP (5.5 mol/L in decane, 1.50 eq.), 70 °C, 12 h. [b]Yields were determined by ^{1}H NMR using CH_3NO_2 as an internal standard.

Table S2. Optimization of solvent[a]

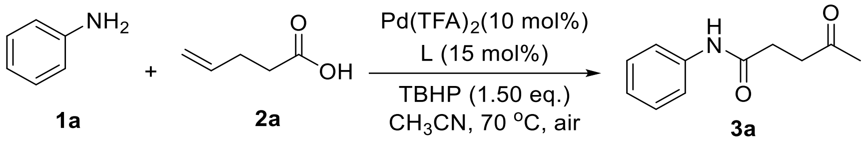


Entry	Solvent	Yield(%)[b]
1	Dioxane	trace
2	Toluene	37
3	CH_3CN	45
4	$PhCF_3$	25
5	Acetone	43
6	THF	n.d.
7	DMF	n.d.

[a]Reaction conditions: **1a** (0.75 mmol), **2a** (0.25 mmol), $Pd(TFA)_2$ (10 mol%), dmphen (15 mol%), solvent (0.5 mL), TBHP (5.5 mol/L in decane, 1.50 eq.), 70 °C, 12 h. [b]Yields were determined by ^{1}H NMR using

CH_3NO_2 as an internal standard.

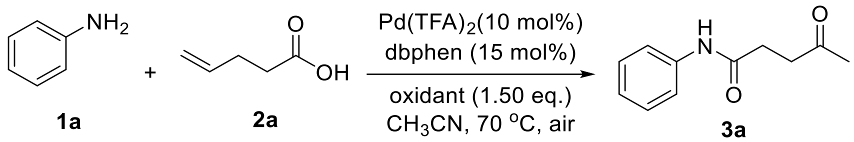
Table S3. Screening of ligands[a]



Entry	Ligand	Yield(%)[b]
1	1,10-phen	17
2	dmphen	45
3	dbphen	55
4	dpphen	n.d.
5	dmbpy	35
6	bpy	n.d.
7	BINAP	n.d.
8	Xantphos	30

[a]Reaction conditions: **1a** (0.75 mmol), **2a** (0.25 mmol), $Pd(TFA)_2$ (10 mol%), L (15 mol%), CH_3CN (0.5 mL), TBHP (5.5 mol/L in decane, 1.50 eq.), 70 °C, 12 h. [b]Yields were determined by ^1H NMR using CH_3NO_2 as an internal standard.

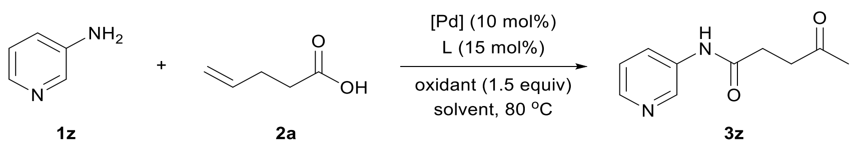
Table S4. Screening of oxidant[a]



Entry	Oxidant	Yield(%)[b]
1	O_2	35
2	TBHP	45
3	DTBP	42
4	BQ	trace
5	H_2O_2	40
6	$Cu(OAc)_2$	n.d.
7	$AgNO_3$	n.d.
8	$PhI(OAc)_2$	n.d

[a]Reaction conditions: **1a** (0.75 mmol), **2a** (0.25 mmol), $Pd(TFA)_2$ (10 mol%), dbphen (15 mol%), CH_3CN (0.5 mL), oxidant (1.50 eq.), 70 °C, 12 h. [b]Yields were determined by ^1H NMR using CH_3NO_2 as an internal standard.

Table S5. Optimization of 3z[a]



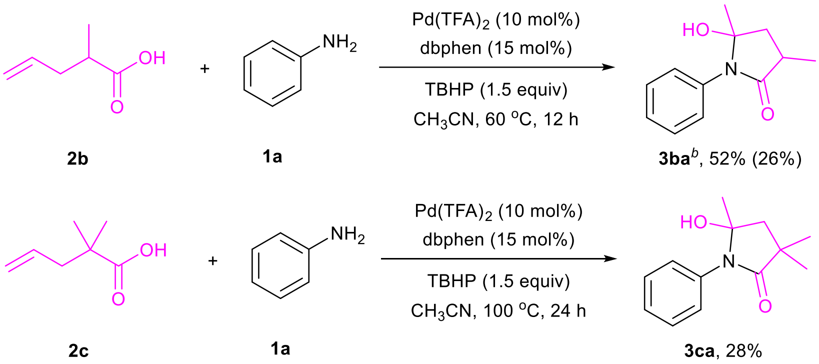
Entry	Catalyst	Ligand	Oxidant	Solvent	**3z** Yield (%)[b]

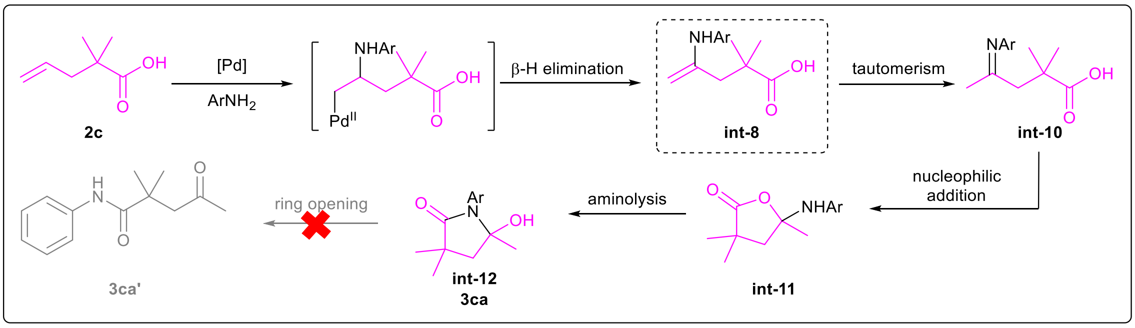
1	$Pd_2(dba)_3$	PPh_3	BQ	$PhCH_3$	n.d.
2	Pd $(TFA)_2$	PPh_3	BQ	$PhCH_3$	n.d.
3	Pd $(TFA)_2$	PPh_3	2,5-DMBQ	$PhCH_3$	n.d.
4	Pd $(TFA)_2$	dppp	2,5-DMBQ	$PhCH_3$	n.d.
5	Pd $(OAc)_2$	dppp	2,5-DMBQ	$PhCH_3$	8
6	Pd $(OAc)_2$	Xantphos	2, 5-DMBQ	$PhCH_3$	10
7	Pd $(OAc)_2$	dppm	2, 6-DMBQ	$PhCH_3$	n.d.
8	Pd $(OAc)_2$	dppb	2, 6-DMBQ	$PhCH_3$	n.d.
9	Pd $(OAc)_2$	BINAP	2, 6-DMBQ	CH_3CN	n.d.
10	$Pd(OAc)_2$	Xantphos	2, 6-DMBQ	CH_3CN	38(30) [c]

[a]Reaction conditions: **1a** (0.25 mmol), **2a** (1 mmol), [Pd] (10 mol%), L (15 mol%), slvent (0.5 mL), oxidant (1.5 equiv), 80 °C, N_2, 24 h. BQ= 1,4-Benzoquinone. 2,5-DMBQ=2,5-Dimethylbenzoquinone. 2,6-DMBQ=2,6-Dimethylbenzoquinone. [b]Yields were determined by ^1H NMR using CH_3NO_2 as an internal standard. n.d. = not detected. [c]Isolated yields.

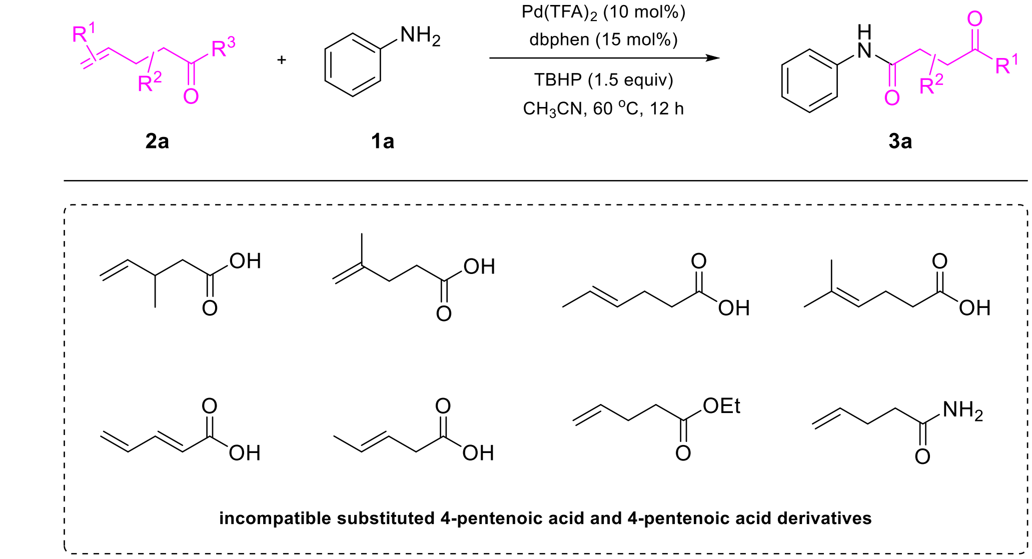
Table S6. Exploration of unsaturated carboxylic acid substrates

We have investigated the generality of this reaction concerning different unsaturated carboxylic acids. Surprisingly, 2-substituted-4-pentenoic acids **2b** and **2c** produced corresponding 5-hydroxy-2-pyrrolidone derivatives **3ba** and **3ca**. This may be due to the Thorpe-Ingold effect stabilizing them in the intermediate **12.**

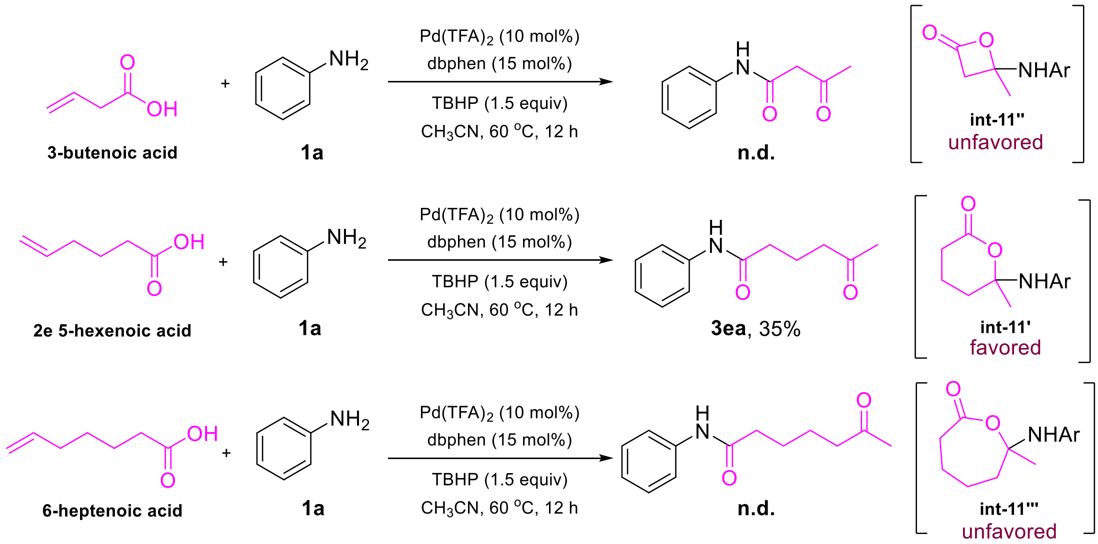


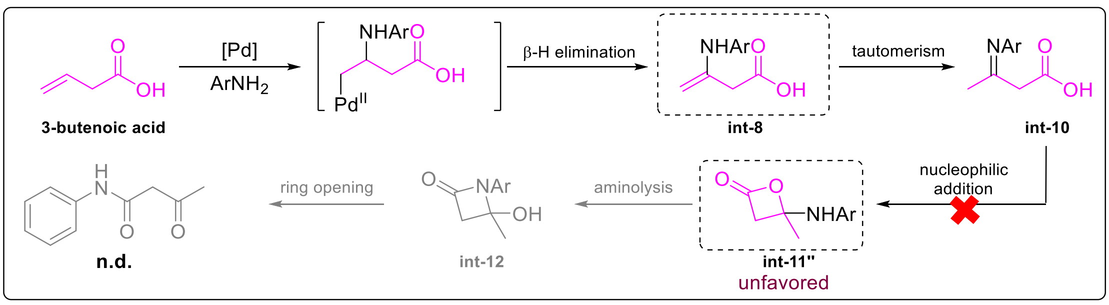


However, the other substituted 4-pentenoic acid and 4-pentenoic acid derivatives (ester and amide) were not compatible with this reaction, presumably because of the sensitivity of the coordination model for alkene activation to subtle steric perturbations or the distinct coordination ability of carboxyl acid, ester and amide.



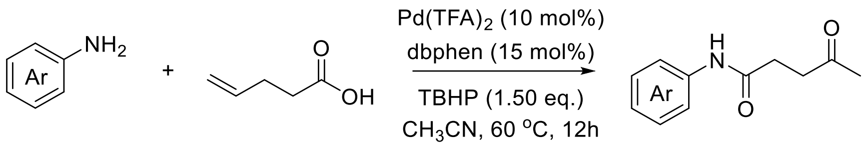
Moreover, 5-heptenoic acid can also give rise to *δ*-ketoamide **3da** with 35% yield.





3. General procedure

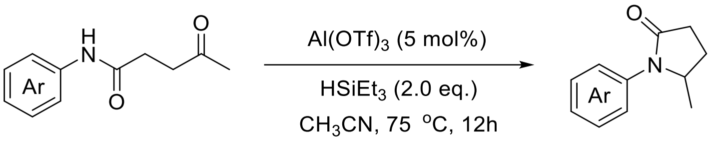
(1) General procedure for the synthesis of γ-ketoamides



1 **2** **3**

To a 10 mL sealed tube equipped with a magnetic stir bar was successively added Pd(TFA)$_2$ (8.3 mg, 10 mol%), dbphen (11.0 mg, 15 mol%), substituted primary aromatic amine **1** (0.25 mmol, 1.0 eq.), substituted 4-pentenoic acid **2** (103μL, 1.0 mmol, 4.0 eq.) and CH_3CN (0.5 mL), followed by the addition of TBHP (5.5 mol/L in decane, 68.0 μL, 1.50 eq.). The mixture was stirred at 60 °C for 24 h under air. After the reaction was completed, the mixture was cooled to room temperature, quenched with saturated $NaHCO_3$ solution, and the organic phase was extracted with EA, then concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to afford the product.

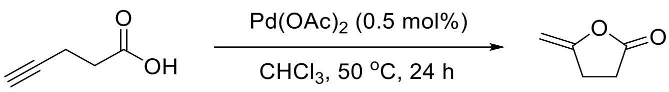
(2) General procedure for the synthesis of N-Substituted lactams[1]



3 **4**

To a 10 mL sealed tube equipped with a magnetic stir bar was successively added γ-ketoamide **3** (0.1 mmol, 1.0 eq.), Al(OTf)$_3$ (1.4 mg, 10 mol%), $HSiEt_3$ (68.0 μL, 2.0 eq.) and CH_3CN (0.5 mL). The mixture was stirred at 75 °C for 12 h under air. After the reaction was completed, the mixture was cooled to room temperature and concentrated under reduced pressure. The residue was purified by flash chromatography on silica gel to afford the product **4**.

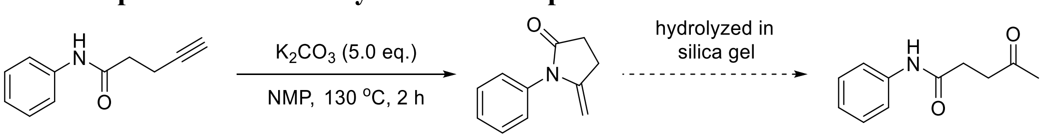
(3) General procedure for the synthesis of γ-methylene-γ-butyrolactone[2]



7

To a 25 mL dried round bottom flask equipped with a magnetic stir bar was successively added Pd(OAc)$_2$ (11.5 mg, 0.05 mmol, 4-pentynoic acid (1.00 g, 10.2 mmol, 1.0 eq.) and $CHCl_3$ (15 mL). The reaction mixture was stirred at 50 °C for 24 h under nitrogen. After cooling the reaction mixture to room temperature, $CHCl_3$ was evaporated under reduced pressure. Purification by column chromatography gave the γ-methylene-γ-butyrolactone **7** in 70% yield.

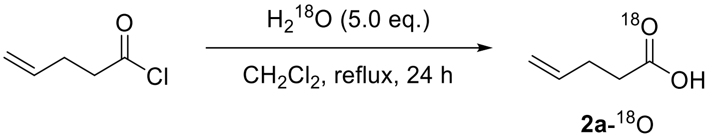
(4) General procedure for the synthesis of compound 9[3]



9 **3a**

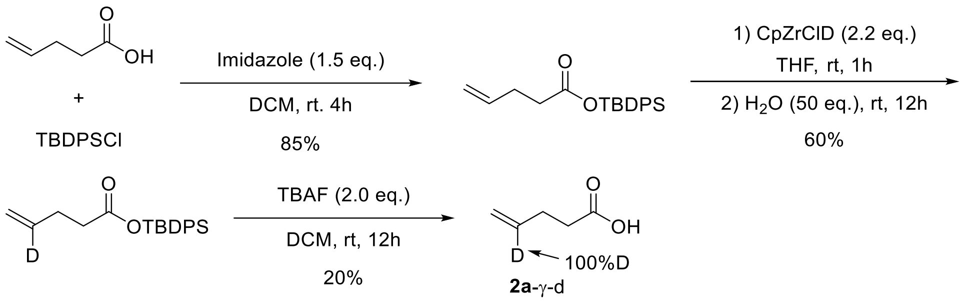
To a 25 mL dried round bottom flask equipped with a magnetic stir bar was successively added *N*-phenylpent-4-ynamide (0.17 g, 1mmol, 1.0 eq.), K_2CO_3 (0.69 g, 5.0 eq.) and NMP (5 mL). The mixture was stirred at 130 °C in 2 h. After the reaction was completed, the mixture was cooled to room temperature, water and EtOAc were added and the organic phase was collected, dried over Na_2SO_4 and concentrated under reduced pressure. However, the mixture **9** was hydrolyzed to **3a** in silica gel.

(5) General procedure for the synthesis of 2a-^{18}O



To a 10 mL sealed tube equipped with a magnetic stir bar was successively added pent-4-enoyl chloride (0.22 mL, 2 mmol), $H_2{}^{18}O$ (0.23 mL, 5.0 eq.) and anhydrous CH_2Cl_2 (2 mL). The mixture was refluxed under nitrogen for 24 hours. After cooling the reaction mixture to room temperature, CH_2Cl_2 was evaporated under reduced pressure. Purification by column chromatography gave **2a-^{18}O** in 55% yield.

(6) General procedure for the synthesis of 2a-γ-d

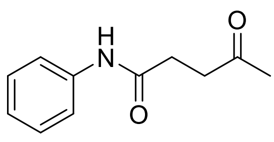


1) Protection: To a 100 mL dry round bottom flask equipped with a magnetic stir bar was added Imidazole (2.04 g, 1.5 eq.), 4-pentenoic acid (1 mL, 10.0 mmol), DCM (20 mL) and TBDPSCl (2.85 mL, 1.1 equiv.) under air. After stirring at rt for 4 h, water and EtOAc were added, and the organic phase was collected, dried by Na_2SO_4, and concentrated under reduced pressure. Purification by column chromatography gave the corresponding silyl ether in 85% yield.

2) Deuteration: To a 25 mL dried Schlenk tube equipped with a magnetic stir bar was added the silyl ether (0.66 g, 2.14 mmol), anhydrous THF (6 mL) in glove box. Then, CpZrClD (0.91g, 4.28 mmol, 2.2 equiv.) was added slowly at 25 ºC. After being stirred for 1 h at this temperature, H_2O (2 mL, 50 equiv.) was added, and the mixture was further incubated under for 12 h. After this time, water and EtOAc were added and the organic phase was collected, dried by Na_2SO_4 and concentrated under reduced pressure. Purification by column chromatography to afford the corresponding deuterated silyl ether in 60 yield.

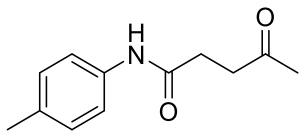
3) Deprotection: To a 25 mL dried Schlenk tube equipped with a magnetic stir bar was added the deuterated silyl ether (0.64 g, 2.0 mmol), TBAF (2mL, 1.0mol/L in THF, 2.0 equiv.) and DCM (2 mL). The mixture was stirred under rt for 12 h. After this time, water and EtOAc were added and the organic phase was collected, dried over Na_2SO_4 and concentrated under reduced pressure. Purification by column chromatography to afford the corresponding deuterated 4-pentenoic acid in 30 yield.

4. Characterization data for products



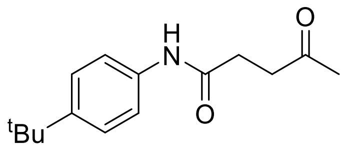
4-oxo-*N*-phenylpentanamide (3a)

Yield: 81% (38.7 mg) as a white solid; m.p. = 93.5 – 94.2 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73 (s, 1H), 7.48 (d, *J* = 7.37 Hz ,2H), 7.29 (t, *J* = 7.8 Hz, 2H), 7.08 (t, *J* = 7.4 Hz, 1H), 2.88 (t, *J* = 6.3 Hz, 2H), 2.61 (t, *J* = 6.3 Hz, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.1, 170.2, 137.9, 128.9, 124.1, 119.7, 38.6, 31.1, 29.9. ν_{max}(KBr)/cm^{-1} 3370, 2661, 1628, 1437, 1358, 1075, 758, 695. HRMS-APCI (m/z): calcd for $C_{11}H_{13}NO_2$, $[M+H]^+$: 192.1019, found 192.1016.



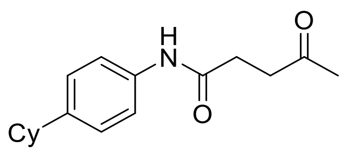
4-oxo-N-(p-tolyl)pentanamide (3b)

Yield: 78% (40.0 mg) as a white solid; m.p. = 109.2 – 110.3 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.57 (s, 1H), 7.36 (d, *J* = 8.4 Hz, 2H), 7.10 (d, *J* = 8.2 Hz, 2H), 2.88 (t, *J* = 6.3 Hz, 2H), 2.60 (t, *J* = 6.3 Hz, 2H), 2.30 (s, 3H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.0, 170.1, 135.3, 133.8, 129.4, 119.8, 38.6, 31.0, 29.9, 20.8. ν_{max}(KBr)/cm^{-1} 3316, 2662, 1627, 1359, 1072, 818, 766. HRMS-APCI (m/z): calcd for $C_{12}H_{15}NO_2$, $[M+H]^+$: 206.1176, found 206.1174.



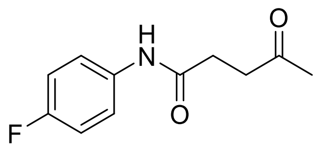
***N*-(4-(*tert*-butyl)phenyl)-4-oxopentanamide (3c)**

Yield: 80% (49.3 mg) as a white solid; m.p. = 86.5 – 87.2 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84 (s, 1H), 7.40 (d, *J* = 8.6 Hz, 2H), 7.30 (d, *J* = 8.7 Hz, 2H), 2.86 (t, *J* = 6.4 Hz, 2H), 2.60 (t, *J* = 6.4 Hz, 2H), 2.19 (s, 3H), 1.28 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.2, 170.23, 147.1, 135.4, 125.7, 119.6, 38.6, 34.3, 31.4, 40.0, 30.0. ν_{max}(KBr)/cm^{-1} 3303, 2961, 2661, 1672, 1529, 1403, 1362, 1260, 1162, 1110, 834, 554. HRMS-APCI (m/z): calcd for $C_{15}H_{21}NO_2$, $[M+H]^+$: 248.1645, found 248.1643.



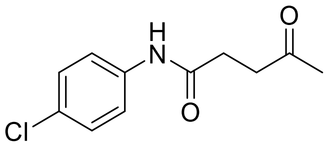
***N*-(4-cyclohexylphenyl)-4-oxopentanamide (3d)**

Yield: 82% (56.1 mg) as a yellow solid; m.p. = 124.8 – 125.3 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.76 (s, 1H), 7.38 (d, *J* = 8.2 Hz, 2H), 7.12 (d, *J* = 8.3 Hz, 2H), 2.86 (t, *J* = 6.4 Hz, 2H), 2.59 (t, *J* = 6.4 Hz, 2H), 2.44 (td, *J* = 8.7, 4.3 Hz, 1H), 2.19 (s, 3H), 1.87 – 1.79 (m, 4H), 1.76 – 1.70 (m, 1H), 1.43 – 1.32 (m, 4H), 1.28 – 1.20 (m, 1H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.1, 170.1, 144.1, 135.6, 127.1, 119.9, 43.9, 38.6, 34.4, 30.9, 29.9, 26.8, 26.1. ν_{max}(KBr)/cm^{-1} 3325, 2922, 2850, 2357, 1708, 1628, 1526, 1412, 1361, 1157, 822, 775. HRMS-APCI (m/z): calcd for $C_{17}H_{23}NO_2$, $[M+H]^+$: 274.1802, found 274.1800.



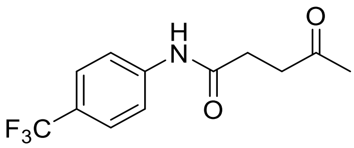
***N*-(4-fluorophenyl)-4-oxopentanamide (3e)**

Yield: 70% (36.6 mg) as a white solid; m.p. = 121.5 – 122.3 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.42 (dd, *J* = 9.0, 4.7 Hz, 2H), 6.95 (t, *J* = 8.7 Hz, 2H), 2.87 (t, *J* = 6.3 Hz, 2H), 2.59 (t, *J* = 6.3 Hz, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.3, 170.3, 160.4, 158.0, 134.0, 133.9, 121.5 (d, *J* = 7.8 Hz), 115.4 (d, *J* = 22.4 Hz), 38.4, 30.8, 29.9. ν_{max}(KBr)/cm^{-1} 3356, 2662, 2360, 1694, 1628, 1504, 1361, 1090, 844, 772. HRMS-APCI (m/z): calcd for $C_{11}H_{12}FNO_2$, $[M+H]^+$: 210.0925, found 210.0923.



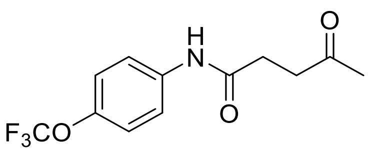
***N*-(4-chlorophenyl)-4-oxopentanamide (3f)**

Yield: 70% (39.4 mg) as a white solid; m.p. = 143.5 – 144.1 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (s, 1H), 7.42 (d, *J* = 8.8 Hz, 2H), 7.22 (d, *J* = 8.8 Hz, 2H), 2.87 (t, *J* = 6.3 Hz, 2H), 2.59 (t, *J* = 6.3 Hz, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.4, 170.3, 136.5, 129.0, 128.8, 120.9, 38.4, 30.9, 29.9. ν_{max}(KBr)/cm^{-1} 3353, 2360, 1628, 1361, 1082, 826, 775. HRMS-APCI (m/z): calcd for $C_{11}H_{12}ClNO_2$, $[M+H]^+$: 226.0626, found 226.0629.



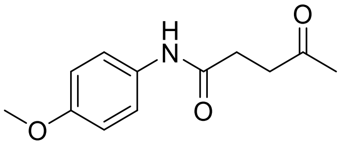
4-oxo-*N*-(4-(trifluoromethyl)phenyl)pentanamide (3g)

Yield: 45% (29.1 mg) as a white solid; m.p. = 146.7 – 147.5 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (s, 1H), 7.60 (d, *J* = 8.6 Hz, 2H), 7.53 (d, *J* = 8.5 Hz, 2H), 2.91 (t, *J* = 6.2 Hz, 2H), 2.64 (t, *J* = 6.2 Hz, 2H), 2.24 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.6, 170.6, 141.0, 126.3 (q, *J* = 14.9 Hz), 125.4, 122.7, 119.2, 38.4, 31.1, 30.0. ν_{max}(KBr)/cm^{-1} 3363, 2661, 2361, 1680, 1628, 1417, 1360, 1158, 1107, 1068, 846, 775. HRMS-APCI (m/z): calcd for $C_{12}H_{12}F_3NO_2$, $[M+H]^+$: 260.0893, found 260.0891.



4-oxo-*N*-(4-(trifluoromethoxy)phenyl)pentanamide (3h)

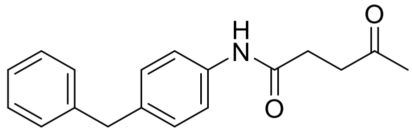
Yield: 62% (42.6 mg) as a white solid; m.p. = 128.6 – 129.1 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.49 (d, *J* = 8.6 Hz, 2H), 7.11 (d, *J* = 8.5 Hz, 2H), 2.88 (t, *J* = 6.2 Hz, 2H), 2.61 (t, *J* = 6.2 Hz, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.4, 170.4, 145.1, 136.6, 121.7, 121.6, 120.8, 119.2, 38.5, 31.0, 29.9. ν_{max}(KBr)/cm^{-1} 3350, 2661, 2358, 1696, 1628, 1361, 1210, 1160, 1107, 853, 775. HRMS-APCI (m/z): calcd for $C_{12}H_{12}F_3NO_3$, $[M+H]^+$: 276.0842, found 276.0840.



***N*-(4-methoxyphenyl)-4-oxopentanamide (3i)**

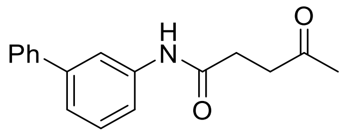
Yield: 42% (23.2 mg) as a white solid; m.p. = 125.8 – 126.3 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (s, 1H), 7.37 (d, *J* = 8.8 Hz, 2H), 6.82 (d, *J* = 8.7 Hz, 2H), 3.77 (s, 3H), 2.87 (t, *J* =

6.3 Hz, 2H), 2.58 (t, *J* = 6.4 Hz, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.1, 170.0, 156.3, 131.0, 121.6, 114.0, 55.4, 38.6, 30.8, 29.9. ν_{max}(KBr)/cm^{-1} 3293, 2661, 2356, 1629, 1360, 1070, 825, 775. HRMS-APCI (m/z): calcd for $C_{12}H_{15}NO_3$, $[M+H]^+$: 222.1125, found 222.1122.



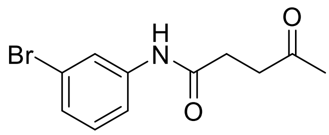
***N*-(4-benzylphenyl)-4-oxopentanamide (3j)**

Yield: 56% (39.3 mg) as a brown solid; m.p. = 102.8 – 103.2 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.54 (s, 1H), 7.37 (d, *J* = 8.1 Hz, 2H), 7.08 – 7.17 (m, 5H), 3.90 (s, 2H), 2.85 (t, *J* = 6.3 Hz, 2H), 2.57 (t, *J* = 6.3 Hz, 2H), 2.18 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.0, 170.1, 141.1, 137.0, 135.9, 129.4, 128.8, 128.4, 126.0, 119.9, 41.3, 38.6, 31.1, 29.9. ν_{max}(KBr)/cm^{-1} 3382, 2920, 2836, 2661, 2357, 1628, 1528, 1359, 1071, 851, 778. HRMS-APCI (m/z): calcd for $C_{18}H_{19}NO_2$, $[M+H]^+$: 282.1489, found 282.1486.



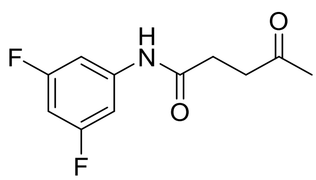
***N*-([1,1'-biphenyl]-3-yl)-4-oxopentanamide (3k)**

Yield: 70% (46.7 mg) as a white solid; m.p. = 123.5 – 1123.9 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (s, 1H), 7.75 (t, *J* = 1.9 Hz, 1H), 7.58 – 7.54 (m, 2H), 7.48 – 7.46 (m, 1H), 7.41 (m, 2H), 7.42 – 7.38 (m, 3H), 2.88 (t, *J* = 6.3 Hz, 2H), 2.63 (t, *J* = 6.3 Hz, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.2, 170.4, 141.9, 140.6, 138.4, 129.2, 128.7, 127.4, 127.1, 122.9, 118.6, 118.5, 38.5, 31.0, 29.9. ν_{max}(KBr)/cm^{-1} 3296, 2966, 2832, 2661, 2358, 1627, 1416, 1359, 1157, 1075, 759, 697. HRMS-APCI (m/z): calcd for $C_{17}H_{17}NO_2$, $[M+H]^+$: 268.1332, found 268.1329.



***N*-(3-bromophenyl)-4-oxopentanamide (3l)**

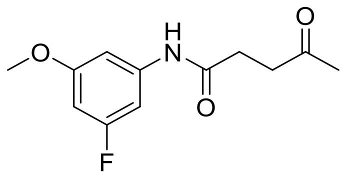
Yield: 55% (36.9 mg) as a brown solid; m.p. = 93.6 – 94.1 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (s, 1H), 7.75 (s, 1H), 7.35 (d, *J* = 7.9 Hz, 1H), 7.18 (d, *J* = 8.0 Hz, 1H), 7.11 (t, *J* = 8.0 Hz, 1H), 2.87 (t, *J* = 6.3 Hz, 2H), 2.60 (t, *J* = 6.3 Hz, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.4, 170.4, 139.2, 130.1, 127.0, 122.5, 122.5, 118.1, 38.4, 30.9, 29.9. ν_{max}(KBr)/cm^{-1} 3317, 2964, 2661, 2359, 1678, 1629, 1531, 1476, 1415, 1360, 1162, 1068, 871, 777. HRMS-APCI (m/z): calcd for $C_{11}H_{12}BrNO_2$, $[M+H]^+$: 270.0124, found 270.0128.



***N*-(3,5-difluorophenyl)-4-oxopentanamide (3m)**

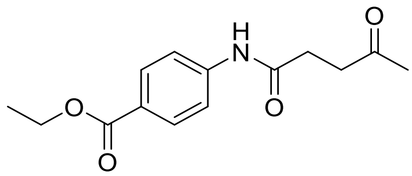
Yield: 35% (19.9 mg) as a yellow solid; m.p. = 125.3 – 126.5 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.15 – 7.05 (m, 2H), 6.51 (tt, *J* = 8.9, 2.35 Hz, 1H), 2.90 (t, *J* = 6.2

Hz, 2H), 2.61 (dd, J = 6.8, 5.6 Hz, 2H), 2.23 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.5, 170.5, 164.4, 164.3, 162.0, 161.8, 140.1, 140.0, 102.5 (d, J = 29.2 Hz), 99.2 (t, J = 25.6 Hz), 38.5, 31.1, 29.9. ν_{max}(KBr)/cm^{-1} 3343, 3059, 2360, 1690, 1628, 1479, 1414, 1360, 1312, 1162, 1107, 849, 705. HRMS-APCI (m/z): calcd for $C_{11}H_{11}F_2NO_2$, $[M+H]^+$: 228.0831, found 228.0827.



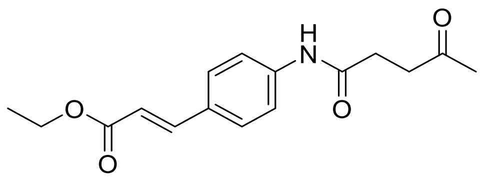
***N*-(3-fluoro-5-methoxyphenyl)-4-oxopentanamide (3n)**

Yield: 48% (28.7 mg) as a white solid; m.p. = 118.3 – 118.6 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (s, 1H), 6.91 (dt, J = 10.47, 2.1 Hz, 1H), 6.87 (d, J = 2.3 Hz, 1H), 6.33 (dt, J = 10.5, 2.3 Hz, 1H), 3.75 (s, 3H), 2.88 (t, J = 6.3 Hz, 2H), 2.60 (t, J = 6.3 Hz, 2H), 2.22 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.3, 170.4, 164.8, 162.4, 161.0 (d, J = 13.0 Hz), 139.8 (d, J = 13.8 Hz), 100.8, 99.4 (d, J = 26.9 Hz), 97.5 (d, J = 25.4 Hz), 55.5, 38.4, 31.1, 29.9. ν_{max}(KBr)/cm^{-1} 3347, 2661, 2358, 1687, 1625, 1559, 1474, 1424, 1365, 1158, 1061, 839, 705. HRMS-APCI (m/z): calcd for $C_{12}H_{14}FNO_3$, $[M+H]^+$: 240.1030, found 240.1026.



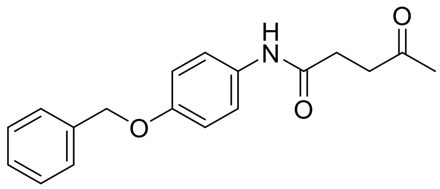
ethyl 4-(4-oxopentanamido)benzoate (3o)

Yield: 40% (26.3 mg) as a brown solid; m.p. = 101.8 – 102.5 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.15 (s, 1H), 7.96 (d, J = 8.7 Hz, 2H), 7.56 (d, J = 8.7 Hz, 2H), 4.34 (q, J = 7.1 Hz, 2H), 2.89 (t, J = 6.2 Hz, 2H), 2.64 (dd, J = 6.8, 5.7 Hz, 2H), 2.22 (s, 3H), 1.37 (t, J = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.2, 170.5, 166.2, 142.1, 130.7, 125.7, 118.6, 60.8, 38.4, 31.1, 29.9, 14.3. ν_{max}(KBr)/cm^{-1} 3339, 2661, 2359, 1703, 1629, 1532, 1362, 1275, 1106, 858, 769. HRMS-APCI (m/z): calcd for $C_{14}H_{17}NO_4$, $[M+H]^+$: 264.1230, found 264.1228.



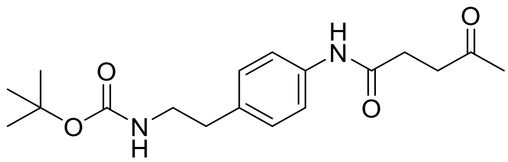
ethyl (*E*)-3-(4-(4-oxopentanamido)phenyl)acrylate (3p)

Yield: 43% (31.1 mg) as a brown solid; m.p. = 112.8 – 113.2 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.11 (s, 1H), 7.60 (d, J = 16.0 Hz, 1H), 7.52 (d, J = 8.4 Hz, 2H), 7.43 (d, J = 8.4 Hz, 2H), 6.33 (d, J = 16.0 Hz, 1H), 4.24 (q, J = 7.1 Hz, 2H), 2.88 (t, J = 6.3 Hz, 2H), 2.62 (t, J = 6.3 Hz, 2H), 2.21 (s, 3H), 1.32 (t, J = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.3, 170.4, 167.2, 143.9, 139.8, 130.1, 128.9, 119.6, 116.9, 60.4, 38.4, 31.1, 29.9, 14.3. ν_{max}(KBr)/cm^{-1} 3350, 2661, 2358, 1699, 1629, 1528, 1359, 1170, 833, 766. HRMS-APCI (m/z): calcd for $C_{16}H_{19}NO_4$, $[M+H]^+$: 290.1387, found 290.1383.



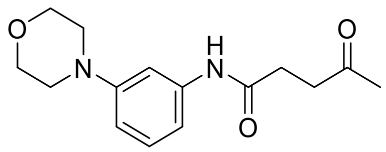
***N*-(4-(benzyloxy)phenyl)-4-oxopentanamide (3q)**

Yield: 42% (39.3 mg) as a white solid; m.p. = 124.9 – 125.3 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 (s, 1H), 7.42 – 7.33 (m, 6H), 6.91 (d, *J* = 8.5 Hz, 2H), 5.03 (s, 2H), 2.88 (t, *J* = 6.3 Hz, 2H), 2.59 (t, *J* = 6.3 Hz, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.1, 170.0, 155.5, 136.9, 131.2, 128.5, 127.9, 127.4, 121.6, 115.1, 70.2, 38.6, 30.9, 29.9. ν_{max}(KBr)/cm^{-1} 3297, 2920, 2661, 2360, 1629, 1359, 1071, 820, 771. HRMS-APCI (m/z): calcd for $C_{18}H_{19}NO_3$, $[M+H]^+$: 298.1438, found 298.1435.



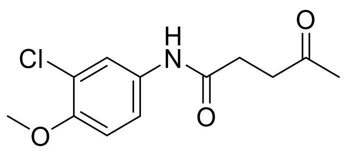
***tert*-butyl (4-(4-oxopentanamido)phenethyl)carbamate (3r)**

Yield: 55% (45.9 mg) as a white solid; m.p. = 120.7 – 121.1 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.86 (s, 1H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 8.0 Hz, 2H), 4.56 (s, 1H), 3.32 (d, *J* = 6.8 Hz, 2H), 2.86 (t, *J* = 6.3 Hz, 2H), 2.73 (t, *J* = 7.0 Hz, 2H), 2.60 (t, *J* = 6.3 Hz, 2H), 2.20 (s, 3H), 1.42 (s, 9H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.1, 170.3, 155.9, 136.3, 134.7, 129.1, 120.0, 79.2, 41.7, 38.5, 35.5, 30.9, 29.9, 28.3. ν_{max}(KBr)/cm^{-1} 3359, 2661, 2358, 1678, 1628, 1359, 1164, 1067, 832, 771. HRMS-APCI (m/z): calcd for $C_{18}H_{26}N_2O_4$, $[M+H]^+$: 335.1965, found 335.1960.



***N*-(3-morpholinophenyl)-4-oxopentanamide (3s)**

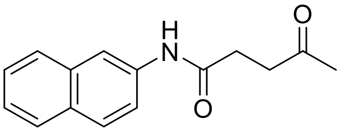
Yield: 35% (24.1 mg) as a brown solid; m.p. = 128.7 – 129.1 °C; R_f = 0.4 (PE : EA= 1 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (s, 1H), 7.32 (s, 1H), 7.16 (t, *J* = 8.1 Hz, 1H), 6.81 (d, *J* = 8.1 Hz, 1H), 6.63 (d, *J* = 7.3 Hz, 1H), 3.82 (t, *J* = 4.4 Hz, 4H), 3.13 (t, *J* = 4.4 Hz, 4H), 2.87 (t, *J* = 6.3 Hz, 2H), 2.59 (t, *J* = 6.3 Hz, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.1, 170.3, 152.0, 139.0, 129.5, 111.4, 111.1, 107.1, 66.9, 66.8, 49.2, 38.6, 31.1, 29.9. ν_{max}(KBr)/cm^{-1} 3314, 2661, 2360, 1628, 1438, 1359, 1116, 1068, 871, 773. HRMS-APCI (m/z): calcd for $C_{15}H_{20}N_2O_3$, $[M+H]^+$: 277.1547, found 277.1543.



***N*-(3-chloro-4-methoxyphenyl)-4-oxopentanamide (3t)**

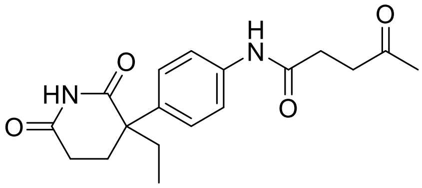
Yield: 57% (36.3 mg) as a yellow solid; m.p. = 137.8 – 139.1 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (s, 1H), 7.56 (d, *J* = 2.6 Hz, 1H), 7.29 (dd, *J* = 8.8, 2.6 Hz, 1H), 6.81 (d, *J* = 8.8 Hz, 1H), 3.85 (s, 3H), 2.87 (t, *J* = 6.3 Hz, 2H), 2.58 (t, *J* = 6.3 Hz, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.3, 170.2, 151.7, 131.5, 122.3, 119.4, 112.1, 56.3, 38.5, 30.8, 29.9. ν_{max}(KBr)/cm^{-1}

3354, 2661, 2360, 1629, 1360, 1065, 869, 774. HRMS-APCI (m/z): calcd for $C_{12}H_{14}ClNO_3$, $[M+H]^+$: 256.0735, found 256.0731.



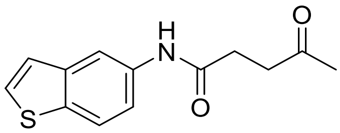
***N*-(naphthalen-2-yl)-4-oxopentanamide (3u)**

Yield: 60% (36.2 mg) as a brown solid; m.p. = 98.6 – 99.2 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 8.17 (s, 1H), 7.73 – 7.69 (m, 3H), 7.46 – 7.32 (m, 3H), 2.87 (t, *J* = 6.3 Hz, 2H), 2.65 (t, *J* = 6.3 Hz, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.4, 170.6, 135.5, 133.8, 130.6, 128.7, 127.6, 127.5, 126.4, 124.9, 119.9, 116.5, 38.5, 31.1, 30.0. ν_{max}(KBr)/cm^{-1} 3320, 2663, 2356, 1627, 1361, 1156, 1067, 817, 754. HRMS-APCI (m/z): calcd for $C_{15}H_{15}NO_2$, $[M+H]^+$: 242.1176, found 242.1172.



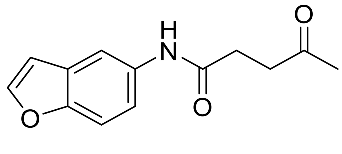
***N*-(4-(3-ethyl-2,6-dioxopiperidin-3-yl)phenyl)-4-oxopentanamide (3v)**

Yield: 57% (47.1 mg) as a white solid; m.p. = 167.7 – 168.2 °C; R_f = 0.4 (PE : EA= 1 : 2). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.25 (s, 1H), 7.96 (s, 1H), 7.49 (d, *J* = 8.5 Hz, 2H), 7.18 (d, *J* = 8.4 Hz, 2H), 2.89 (t, *J* = 6.2 Hz, 2H), 2.61 (t, *J* = 6.2 Hz, 2H), 2.57 – 2.55 (m, 1H), 2.43 – 2.31 (m, 2H), 2.21 (s, 4H), 2.00 (dt, *J* = 14.7, 7.4 Hz, 1H), 1.87 (dt, *J* = 14.6, 7.3 Hz, 1H), 0.85 (t, *J* = 7.4 Hz, 3H).^{13}C NMR (100 MHz, $CDCl_3$) δ 175.3, 172.4, 170.5, 137.4, 134.2, 126.8, 120.1, 50.7, 38.6, 32.8, 31.1, 30.0, 29.3, 27.0, 9.0. ν_{max}(KBr)/cm^{-1} 3431, 3355, 2662, 2359, 1628, 1358, 1075, 844, 756. HRMS-APCI (m/z): calcd for $C_{18}H_{22}N_2O_4$, $[M+H]^+$: 331.1652, found 331.1647.



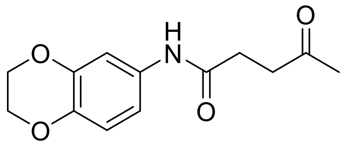
***N*-(benzo[*b*]thiophen-5-yl)-4-oxopentanamide (3w)**

Yield: 60% (37.1 mg) as a white solid; m.p. = 148.4 – 148.9 °C; R_f = 0.4 (PE : EA= 1 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.14 (d, *J* = 2.1 Hz, 1H), 7.83 (s, 1H), 7.75 (d, *J* = 8.7 Hz, 1H), 7.43 (d, *J* = 5.4 Hz, 1H), 7.30 (dd, *J* = 8.6, 2.0 Hz, 1H), 7.25 (s, 1H), 2.91 (t, *J* = 6.3 Hz, 2H), 2.65 (t, *J* = 6.3 Hz, 2H), 2.23 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.2, 170.3, 140.2, 135.4, 134.7, 127.5, 123.9, 122.6, 117.5, 114.5, 38.7, 31.2, 30.0. ν_{max}(KBr)/cm^{-1} 3355, 2661, 2361, 1629, 1356, 1074, 863, 759. HRMS-APCI (m/z): calcd for $C_{13}H_{13}NO_2S$, $[M+H]^+$: 248.0740, found 248.0737.



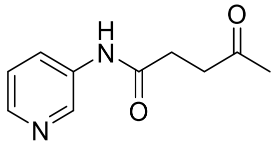
***N*-(benzofuran-5-yl)-4-oxopentanamide (3x)**

Yield: 55% (31.8 mg) as a yellow solid; m.p. = 118.6 – 119.2 °C; R_f = 0.4 (PE : EA= 1 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00 (s, 1H), 7.85 (d, *J* = 2.2 Hz, 1H), 7.57 (d, *J* = 2.2 Hz, 1H), 7.36 (d, *J* = 8.7 Hz, 1H), 7.21 (dd, J = 8.8, 2.2 Hz, 1H), 6.67 (d, J = 2.2 Hz, 1H), 2.87 (t, J = 6.4 Hz, 2H), 2.62 (t, J = 6.4 Hz, 2H), 2.20 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.3, 170.4, 151.9, 145.7, 133.2, 127.7, 117.6, 112.9, 111.3, 106.8, 38.6, 30.9, 30.0. ν_{max}(KBr)/cm^{-1} 3345, 2661, 2358, 1628, 1358, 1073, 870, 762. HRMS-APCI (m/z): calcd for $C_{13}H_{13}NO_3$, [M+H]$^+$: 232.0968, found 232.0965.



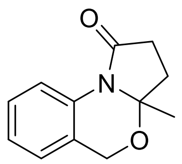
_N_-(2,3-dihydrobenzo[_b_][1,4]dioxin-6-yl)-4-oxopentanamide (3y)

Yield: 54% (33.6 mg) as a white solid; m.p. = 98.5 – 99.1 °C; R_f = 0.4 (PE : EA= 1 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.69 (s, 1H), 7.10 (d, J = 2.5 Hz, 1H), 6.86 (dd, J = 8.7, 2.5 Hz, 1H), 6.75 (d, J = 8.6 Hz, 1H), 4.21 (s, 4H), 2.85 (t, J = 6.4 Hz, 2H), 2.56 (t, J = 6.4 Hz, 2H), 2.19 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.2, 170.1, 143.4, 140.3, 131.7, 117.1, 113.5, 109.7, 64.4, 64.3, 38.6, 30.9, 30.0. ν_{max}(KBr)/cm^{-1} 3311, 2662, 2358, 1627, 1506, 1361, 1064, 875, 809. HRMS-APCI (m/z): calcd for $C_{13}H_{15}NO_4$, [M+H]$^+$: 250.1074, found 250.1071.



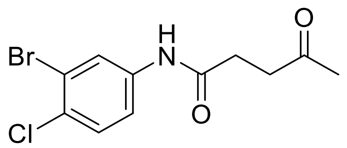
4-oxo-_N_-(pyridin-3-yl)pentanamide (3z)

Yield: 30% (14.7 mg) as yellow liquid; R_f = 0.4 (PE : EA=1 : 2). ^{1}H NMR (400 MHz, $CDCl_3$) δ 10.71 (s, 1H), 9.13 (s, 1H), 8.87 (d, J = 8.6 Hz, 1H), 8.34 – 8.29 (m, 1H), 7.72 (dd, J = 8.6, 5.3 Hz, 1H), 2.85 (t, J = 6.0 Hz, 2H), 2.73 (t, J = 6.1 Hz, 2H), 2.21 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 207.7, 172.3, 135.2, 133.9, 133.1, 126.7, 37.7, 30.4, 29.9. ν_{max}(KBr)/cm^{-1} 3455, 2392, 1648, 1539, 1504, 1296, 748. HRMS-APCI (m/z): calcd for $C_{10}H_{13}N_2O_2$, [M+H]$^+$: 193.0972, found 193.0971.



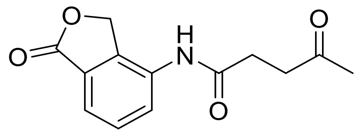
3a-methyl-3,3a-dihydro-5_H_-benzo[_d_]pyrrolo[2,1-_b_][1,3]oxazin-1(2_H_)-one (3aa)

Yield: 35% (17.8 mg) as a yellow liquid; R_f = 0.4 (PE : EA= 4 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.30 (d, J = 8.3 Hz, 1H), 7.28 (t, J = 8.4 Hz, 1H), 7.11 (td, J = 7.5, 1.2 Hz, 1H), 7.05 (dd, J = 7.9, 1.6 Hz, 1H), 5.02 (d, J = 15.6 Hz, 1H), 4.87 (d, J = 15.6 Hz, 1H), 2.67 – 2.58 (m, 2H), 2.28 – 2.15 (m, 2H), 1.51 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 171.3, 132.9, 127.5, 124.1, 124.0, 123.0, 120.5, 90.0, 62.8, 33.0, 30.2, 21.2. ν_{max}(KBr)/cm^{-1} 2970, 2662, 2358, 1699, 1628, 1372, 1060, 758. HRMS-APCI (m/z): calcd for $C_{12}H_{13}NO_3$, [M+H]$^+$: 204.1019, found 204.1016.



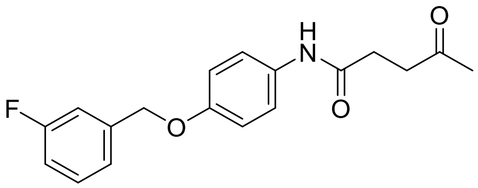
_N_-(3-bromo-4-chlorophenyl)-4-oxopentanamide (3ab)

Yield: 35% (26.5 mg) as a white solid; m.p. = 129.8 – 130.2 °C; R_f = 0.4 (PE : EA= 2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.03 (s, 1H), 7.73 (d, J = 2.5 Hz, 1H), 7.47 (d, J = 8.7 Hz, 1H), 7.21 (dd, J = 8.7, 2.5 Hz, 1H), 2.89 (t, J = 6.1 Hz, 2H), 2.60 (t, J = 6.1 Hz, 2H), 2.23 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.5, 170.4, 138.2, 134.7, 133.6, 121.2, 119.0, 116.5, 38.5, 31.1, 30.0. ν_{max}(KBr)/cm^{-1} 3340, 2661, 2359, 1686, 1629, 1361, 1110, 870, 757. HRMS-APCI (m/z): calcd for $C_{11}H_{11}BrClNO_2$, $[M+H]^+$: 303.9734, found 303.9732.



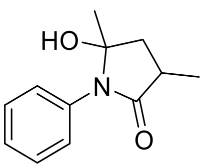
4-oxo-*N*-(1-oxo-1,3-dihydroisobenzofuran-4-yl)pentanamide (3ac)

Yield: 25% (15.4 mg) as a brown solid; m.p. = 134.8 – 135.4 °C; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.10 (s, 1H), 7.71 (dd, J = 7.7, 3.1 Hz, 2H), 7.48 (t, J = 7.7 Hz, 1H), 5.31 (s, 2H), 3.02 – 2.85 (m, 2H), 2.65 (t, J = 6.0 Hz, 2H), 2.24 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.6, 171.2, 170.5, 138.5, 132.4, 129.9, 127.1, 126.7, 122.2, 69.7, 38.7, 30.6, 30.0. ν_{max}(KBr)/cm^{-1} 3359, 2661, 2358, 1759, 1629, 1359, 1075, 861, 755. HRMS-APCI (m/z): calcd for $C_{13}H_{13}NO_4$, $[M+H]^+$: 248.0917, found 248.0914.



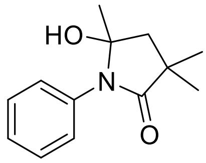
***N*-(4-((3-fluorobenzyl)oxy)phenyl)-4-oxopentanamide (3ad)**

Yield: 27% (21.2 mg) as a white solid; m.p. = 154.8 – 155.3 °C; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74 (s, 1H), 7.60 (d, J = 2.5 Hz, 1H), 7.35 – 7.30 (m, 1H), 7.28 – 7.26 (m, 1H), 7.22 – 7.15 (m, 2H), 7.01 – 6.97 (m, 1H), 6.84 (d, J = 8.8 Hz, 1H), 5.08 (s, 2H), 2.88 (t, J = 6.1 Hz, 2H), 2.58 (t, J = 6.1 Hz, 2H), 2.22 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 208.3, 170.2, 164.2, 161.8, 150.6, 139.1, 132.2, 130.2, 130.1 (d, J = 8.2 Hz), 123.5, 122.5 (d, J = 3.0 Hz), 122.4, 122.3, 119.3, 114.9 (d, J = 21.3 Hz), 114.5, 114.0 (d, J = 22.2 Hz), 70.4, 38.6, 30.9, 30.0. ν_{max}(KBr)/cm^{-1} 3356, 2661, 2358, 1685, 1629, 1356, 1061, 827, 757. HRMS-APCI (m/z): calcd for $C_{18}H_{18}FNO_3$, $[M+H]^+$: 316.1343, found 316.1340.



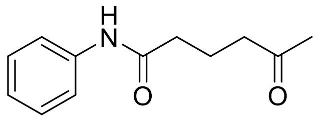
5-hydroxy-3,5-dimethyl-1-phenylpyrrolidin-2-one (3ba)

Yield: 26% (13.3 mg) as a white solid; m.p. = 96.3 – 96.8 °C; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.41 (dd, J = 8.3, 6.7 Hz, 2H), 7.37 – 7.27 (m, 3H), 2.91 – 2.82 (m, 1H), 2.49 (dd, J = 13.2, 8.3 Hz, 1H), 1.85 (dd, J = 13.2, 10.1 Hz, 1H), 1.43 (s, 3H), 1.28 (d, J = 7.1 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 177.4, 135.8, 129.1, 128.1, 127.6, 89.1, 44.3, 34.7, 27.4, 16.0. ν_{max}(KBr)/cm^{-1} 3449, 2970, 1598, 1495, 1382, 1114, 759, 694. HRMS-APCI (m/z): calcd for $C_{12}H_{15}NO_2$, $[M+H]^+$: 206.1178, found 206.1176.



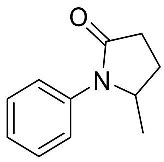
5-hydroxy-3,3,5-trimethyl-1-phenylpyrrolidin-2-one (3ca)

Yield: 28% (15.3 mg) as a white solid; m.p. = 98.6 – 99.1 °C; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.47 – 7.39 (m, 2H), 7.38 – 7.32 (m, 1H), 7.31 – 7.26 (m, 2H), 2.80 (s, 1H), 2.27 – 2.12 (m, 2H), 1.43 (s, 3H), 1.39 (s, 3H), 1.29 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 179.8, 135.7, 129.0, 128.7, 127.7, 88.3, 50.1, 39.8, 28.4, 26.7, 26.3. ν_{max}(KBr)/cm^{-1} 3453, 2972, 1681, 1403, 1190, 759, 694. HRMS-APCI (m/z): calcd for $C_{13}H_{18}NO_2$, $[M+H]^+$: 220.1334, found 220.1332.



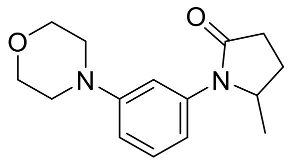
5-oxo-N-phenylhexanamide (3ea)

Yield: 35% (17.9 mg) as a brown solid; m.p. = 77.8 – 80.1 °C; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) 7.62 (s, 1H), 7.51 (d, *J* = 8.0 Hz, 2H), 7.30 (t, *J* = 7.7 Hz, 2H), 7.09 (t, *J* = 7.4 Hz, 1H), 2.57 (t, *J* = 6.9 Hz, 2H), 2.38 (t, *J* = 7.2 Hz, 2H), 2.15 (s, 3H), 1.98 (p, *J* = 7.1 Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 209.0, 170.8, 138.0, 129.0, 124.2, 119.8, 42.3, 36.3, 30.1, 19.5. ν_{max}(KBr)/cm^{-1} 3313, 2955, 2921, 2359, 1709, 1659, 1537, 1441, 1310, 1157, 1076, 756, 694. HRMS-APCI (m/z): calcd for $C_{12}H_{15}NO_2$, $[M+H]^+$: 206.1176, found 206.1174.



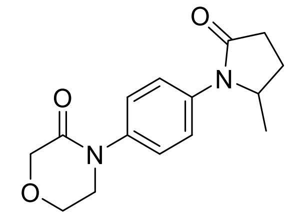
5-methyl-1-phenylpyrrolidin-2-one (4a)

Yield: 80% (14.7 mg) as yellow liquid; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.62 – 7.28 (m, 4H), 7.23 – 7.15 (m, 1H), 4.33 – 4.26 (m, 1H), 2.70 – 2.48 (m, 2H), 2.41 – 2.32 (m, 1H), 1.79 – 1.71 (m, 1H), 1.20 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.2, 137.6, 129.0, 125.8, 124.1, 55.6, 31.4, 26.8, 20.2. ν_{max}(KBr)/cm^{-1} 2970, 2661, 2359, 1693, 1629, 1496, 1383, 1075, 759. HRMS-APCI (m/z): calcd for $C_{11}H_{13}NO$, $[M+H]^+$: 176.1070, found 176.1067.



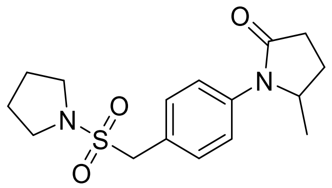
5-methyl-1-(3-morpholinophenyl)pyrrolidin-2-one (4b)

Yield: 86% (22.3 mg) as brown liquid; R_f = 0.4 (PE : EA=1 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.27 (d, *J* = 9.1 Hz, 1H), 7.04 (s, 1H), 6.76 (d, *J* = 7.9 Hz, 2H), 4.28 – 4.24 (m , 1H), 3.84 (t, *J* = 4.9 Hz, 4H), 3.16 (t, *J* = 4.8 Hz, 4H), 2.64 – 2.48 (m, 2H), 2.40 – 2.31 (m, 1H), 1.78 – 1.69 (m, 1H), 1.2 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.2, 151.9, 138.6, 129.5, 115.3, 113.1, 112.2, 66.9, 55.9, 49.3, 31.4, 26.7, 20.2. ν_{max}(KBr)/cm^{-1} 2966, 2661, 2359, 1687, 1629, 1361, 1117, 757. HRMS-APCI (m/z): calcd for $C_{15}H_{20}N_2O_2$, $[M+H]^+$: 261.1598, found 261.1595.



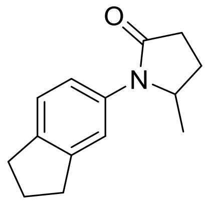
4-(4-(2-methyl-5-oxopyrrolidin-1-yl)phenyl)morpholin-3-one (4c)

Yield: 73% (19.9 mg) as a white solid; m.p. = 145.9 – 146.3 °C; R_f = 0.4 (PE : EA=1 : 2). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.44 (d, *J* = 8.4 Hz, 2H), 7.36 (d, *J* = 8.4 Hz, 2H), 4.34 (s, 2H), 4.30 (q, *J* = 6.4 Hz, 1H), 4.03 (t, *J* = 5.0 Hz, 2H), 3.77 – 3.74 (m, 2H), 2.69 – 2.61 (m, 1H), 2.58 – 2.50 (m, 1H), 2.42 – 2.33 (m, 1H), 1.83 – 1.75 (m, 1H), 1.24 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.3, 166.7, 138.4, 136.2, 125.9, 124.4, 68.5, 64.1, 55.5, 49.6, 31.3, 26.6, 20.1. ν_{max}(KBr)/cm^{-1} 2970, 2660, 2360, 1629, 1358, 1122, 757. HRMS-APCI (m/z): calcd for $C_{15}H_{18}N_2O_3$, $[M+H]^+$: 275.1390, found 275.1388.



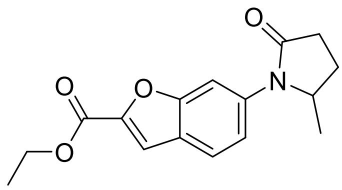
5-methyl-1-(4-((pyrrolidin-1-ylsulfonyl)methyl)phenyl)pyrrolidin-2-one (4d)

Yield: 70% (22.6 mg) as a green solid; m.p. = 209.6 – 210.1 °C; R_f = 0.4 (PE : EA=1 : 3). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.55 – 7.32 (m, 4H), 4.39 – 4.28 (m, 1H), 4.22 (s, 2H), 3.34 – 3.03 (m, 4H), 2.70 – 2.62 (m, 1H), 2.58 – 2.50 (m, 1H), 2.44 – 2.31 (m, 1H), 1.87 – 1.79 (m, 4H), 1.22 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.2, 138.0, 131.2, 126.3, 123.4, 55.8, 55.3, 48.1, 31.3, 26.5, 25.9, 19.9. ν_{max}(KBr)/cm^{-1} 2969, 2923, 2661, 2359, 1688, 1630, 1382, 1138, 1073, 758. HRMS-APCI (m/z): calcd for $C_{16}H_{22}N_2O_3S$, $[M+H]^+$: 323.1424, found 323.1420.



1-(2,3-dihydro-1H-inden-5-yl)-5-methylpyrrolidin-2-one (4e)

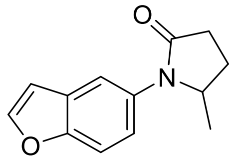
Yield: 80% (17.2 mg) as green liquid; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.25 – 7.10 (m, 2H), 7.02 (d, *J* = 7.9 Hz, 1H), 4.24 – 4.16 (m, 1H), 2.93 – 2.86 (m, , 4H), 2.64 – 2.47 (m, 2H), 2.41 – 2.30 (m, 1H), 2.11 – 2.04 (m, 2H), 1.81 – 1.74 (m, 1H), 1.18 (d, *J* = 6.1 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.4, 145.2, 142.3, 135.6, 124.6, 122.7, 121.2, 56.2, 33.0, 32.5, 31.3, 26.9, 25.6, 20.3. ν_{max}(KBr)/cm^{-1} 2961, 2844, 2661, 2359, 1693, 1628, 1491, 1381, 1077, 817, 757. HRMS-APCI (m/z): calcd for $C_{14}H_{17}NO$, $[M+H]^+$: 216.1383, found 216.1380.



ethyl 6-(2-methyl-5-oxopyrrolidin-1-yl)benzofuran-2-carboxylate (4f)

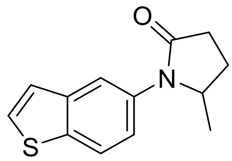
Yield: 82% (22.9 mg) as green liquid; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d,

J = 2.2 Hz, 1H), 7.59 (d, J = 8.9 Hz, 1H), 7.49 (s, 1H), 7.38 (dd, J = 8.9, 2.1 Hz, 1H), 4.44 (q, J = 7.1 Hz, 2H), 4.29 (q, J = 6.4 Hz, 1H), 2.68 – 2.52 (m, 2H), 2.45 – 2.34 (m, 1H), 1.82 – 1.73 (m, 1H), 1.42 (t, J = 7.1 Hz, 3H), 1.19 (d, J = 6.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.6, 159.4, 153.7, 146.6, 133.6, 127.5, 124.7, 119.1, 113.8, 112.8, 61.7, 56.4, 31.2, 26.9, 20.3, 14.3. ν_{max}(KBr)/cm^{-1} 2971, 2662, 2360, 1691, 1629, 1365, 1165, 1098, 757. HRMS-APCI (m/z): calcd for $C_{16}H_{17}NO_4$, $[M+H]^+$: 288.1230, found 288.1227.



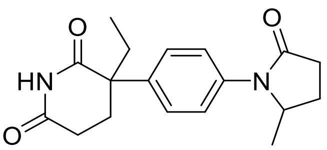
1-(benzofuran-5-yl)-5-methylpyrrolidin-2-one (4g)

Yield: 90% (19.4 mg) as yellow liquid; R_f = 0.4 (PE : EA=2 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (t, J = 1.7 Hz, 1H), 7.56 – 7.42 (m, 2H), 7.19 (dd, J = 8.6, 2.0 Hz, 1H), 6.75 (d, J = 2.1 Hz, 1H), 4.29 – 4.21 (m, 1H), 2.68 – 2.52 (m, 2H), 2.47 – 2.34 (m, 1H), 1.83 – 1.72 (m, 1H), 1.18 (d, J = 6.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.6, 153.1, 145.9, 132.5, 128.0, 121.7, 118.3, 111.8, 106.8, 56.7, 31.2, 27.0, 20.4. ν_{max}(KBr)/cm^{-1} 970, 2928, 2661, 2359, 1687, 1629, 1469, 1390, 1112, 768, 741. HRMS-APCI (m/z): calcd for $C_{13}H_{13}NO_2$, $[M+H]^+$: 216.1019, found 216.1018.



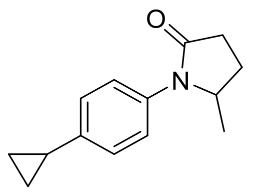
1-(benzo[b]thiophen-5-yl)-5-methylpyrrolidin-2-one (4h)

Yield: 92% (21.3 mg) as orange liquid; R_f = 0.4 (PE : EA=1 : 1). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, J = 8.6 Hz, 1H), 7.80 (d, J = 2.0 Hz, 1H), 7.47 (d, J = 5.4 Hz, 1H), 7.37 – 7.27 (m, 2H), 4.37 – 4.29 (m, 1H), 2.74 – 2.51 (m, 2H), 2.45 – 2.37 (m, 1H), 1.80 (dd, J = 6.9, 3.1 Hz, 1H), 1.21 (d, J = 6.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.5, 140.2, 137.4, 134.2, 127.6, 123.9, 122.9, 121.3, 119.7, 56.2, 31.3, 26.9, 20.3. ν_{max}(KBr)/cm^{-1} 2970, 2927, 2661, 2360, 1687, 1629, 1434, 1368, 1085, 757. HRMS-APCI (m/z): calcd for $C_{13}H_{13}NOS$, $[M+H]^+$: 232.0791, found 232.0787.



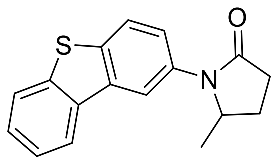
3-ethyl-3-(4-(2-methyl-5-oxopyrrolidin-1-yl)phenyl)piperidine-2,6-dione (4i)

Yield: 75% (23.6 mg) as a green solid; m.p. = 99.8 – 100.2 °C; R_f = 0.4 (PE : EA=1: 2). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.32 (s, 1H), 7.40 (d, J = 8.2 Hz, 2H), 7.28 (d, J = 8.6 Hz, 2H), 4.34 – 4.26 (m, J = 6.3 Hz, 1H), 2.66 – 2.55 (m, 2H), 2.47 – 2.31 (m, 3H), 2.25 – 2.17 (m, 1H), 2.07 – 2.00 (m, 1H), 1.93 – 1.83 (m, 2H), 1.79 – 1.70 (m, 1H), 1.20 (d, J = 6.2 Hz, 3H), 0.85 (t, J = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 175.1, 174.3, 172.4, 137.0, 135.8, 135.7, 126.8, 123.8, 55.4, 50.8, 31.3, 29.3, 29.3, 27.0, 26.9, 26.6, 20.1, 9.1, 9.0. ν_{max}(KBr)/cm^{-1} 2969, 2660, 2360, 1694, 1629, 1357, 1191, 1076, 760. HRMS-APCI (m/z): calcd for $C_{18}H_{22}N_2O_3$, $[M+H]^+$: 315.1703, found 315.1697.



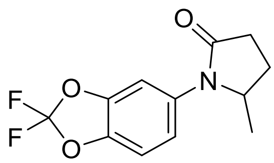
1-(4-cyclopropylphenyl)-5-methylpyrrolidin-2-one (4j)

Yield: 86% (18.5 mg) as yellow liquid; R_f = 0.4 (PE : EA=2 : 1). ^1H NMR (400 MHz, $CDCl_3$) δ 7.25 – 7.16 (m, 2H), 7.12 – 7.03 (m, 2H), 4.28 – 4.18 (m, 1H), 2.66 – 2.48 (m, 2H), 2.39 – 2.30 (m, 1H), 1.91 – 1.84 (m, 1H), 1.78 – 1.68 (m, 1H), 1.17 (d, *J* = 6.2 Hz, 3H), 0.98 – 0.87 (m, 2H), 0.74 – 0.59 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.3, 141.8, 134.8, 126.3, 124.3, 55.8, 31.3, 26.8, 20.2, 15.0, 9.2, 9.1. ν_{max}(KBr)/cm^{-1} 2971, 2662, 2360, 1692, 1629, 1516, 1386, 1222, 1049, 895, 822. HRMS-APCI (m/z): calcd for $C_{14}H_{17}NO$, $[M+H]^+$: 216.1383, found 216.1380.



1-(dibenzo[*b*,*d*]thiophen-2-yl)-5-methylpyrrolidin-2-one (4k)

Yield: 85% (23.9 mg) as orange liquid; R_f = 0.4 (PE : EA=2 : 1). ^1H NMR (400 MHz, $CDCl_3$) δ 8.25 – 8.09 (m, 2H), 7.86 (dd, *J* = 8.7, 3.8 Hz, 2H), 7.53 – 7.35 (m, 3H), 4.45 – 4.35 (m, 1H), 2.76 – 2.58 (m, 2H), 2.49 – 2.40 (m, 1H), 1.86-1.78 (m, , 1H), 1.25 (d, *J* = 6.2 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 174.6, 140.1, 136.9, 136.3, 135.2, 134.5, 127.0, 124.4, 123.4, 123.2, 122.9, 121.9, 117.9, 56.3, 31.4, 26.9, 20.3. ν_{max}(KBr)/cm^{-1} 2969, 2282, 1690, 1472, 1380, 1282, 733, 667. HRMS-APCI (m/z): calcd for $C_{17}H_{15}NOS$, $[M+H]^+$: 282.0947, found 282.0944.



1-(2,2-difluorobenzo[*d*][1,3]dioxol-5-yl)-5-methylpyrrolidin-2-one (4l)

Yield: 72% (18.4 mg) as yellow liquid; R_f = 0.4 (PE : EA=1 : 1). ^1H NMR (400 MHz, $CDCl_3$) δ 6.80 (d, *J* = 2.4 Hz, 1H), 6.73 (d, *J* = 8.4 Hz, 1H), 6.47 (dd, *J* = 8.4, 2.5 Hz, 1H), 4.13 (dt, *J* = 7.3, 6.1 Hz, 1H), 2.74 – 2.56 (m, 2H), 2.45-2.36 (m, 1H), 1.83 – 1.77 (m, 1H), 1.17 (d, *J* = 6.3 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 176.0, 144.9, 144.0, 128.4, 116.9, 115.2, 113.9, 57.7, 31.2, 26.8, 20.2. ν_{max}(KBr)/cm^{-1} 2922, 1649, 1525, 1367, 1268, 811, 722. HRMS-APCI (m/z): calcd for $C_{12}H_{11}F_2NO_3$, $[M+H]^+$: 256.0786, found 256.0780.

5. References

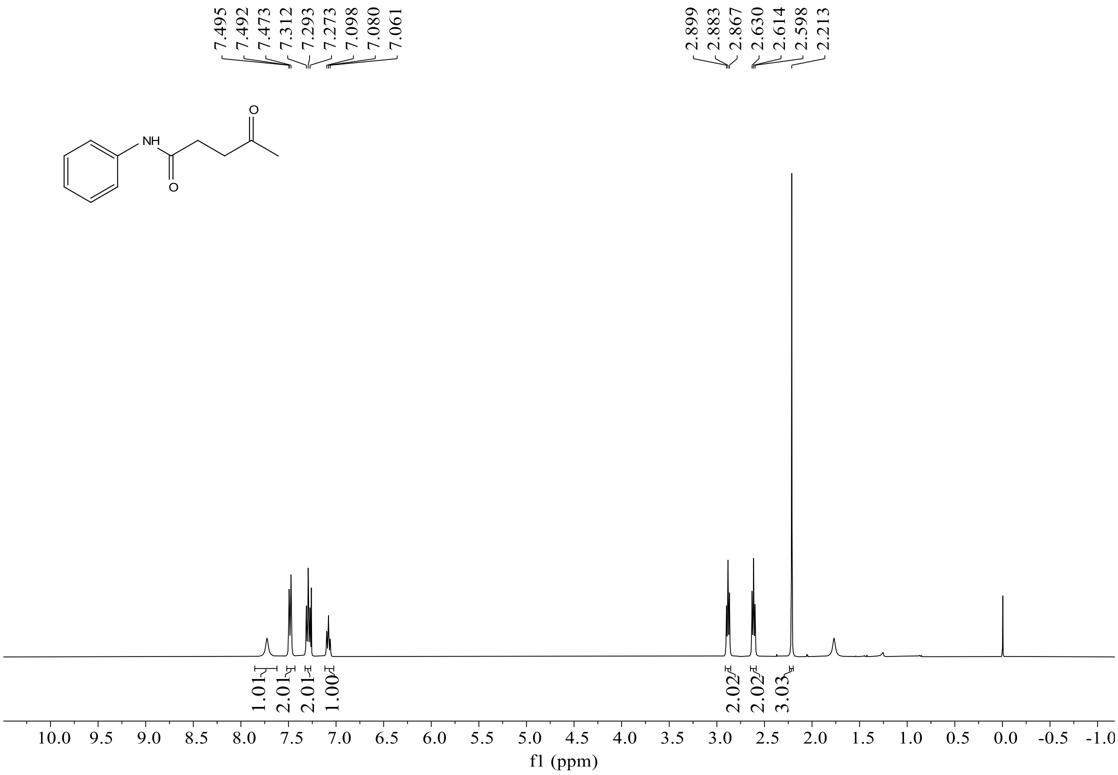
(1) J. Qi, C. Sun, Y. Tian, X. Wang, G. Li, Q. Xiao and D. Yin, *Org. Lett.*, 2014, **16**, 190-192.

(2) Z. Wang, R. Poli, C. Detrembleur and A. Debuigne, *Macromolecules*, 2019, **52**, 8976-8988.

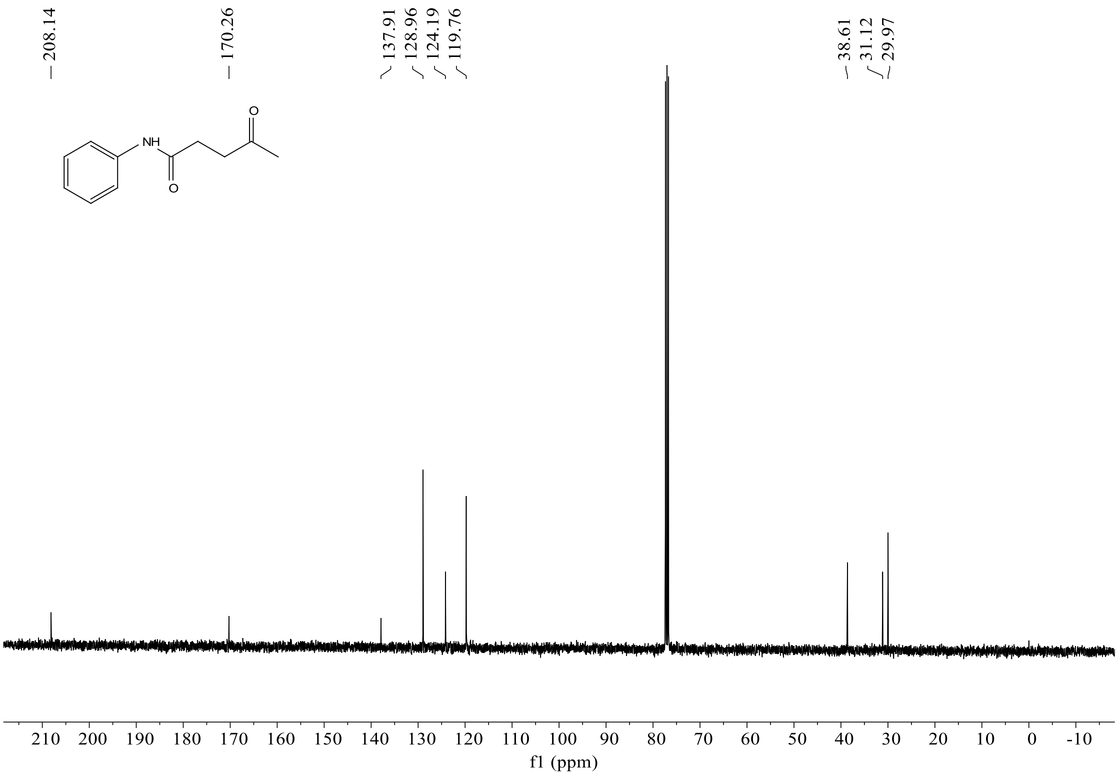
(3) J. Liu, Y. Zhang, G. Li, F. Roschangar, V. Farina, C. H. Senanayake and B. Z. Lu, *Adv. Synth. Catal.*, 2010, **352**, 2667-2671.

6. NMR spectra for products

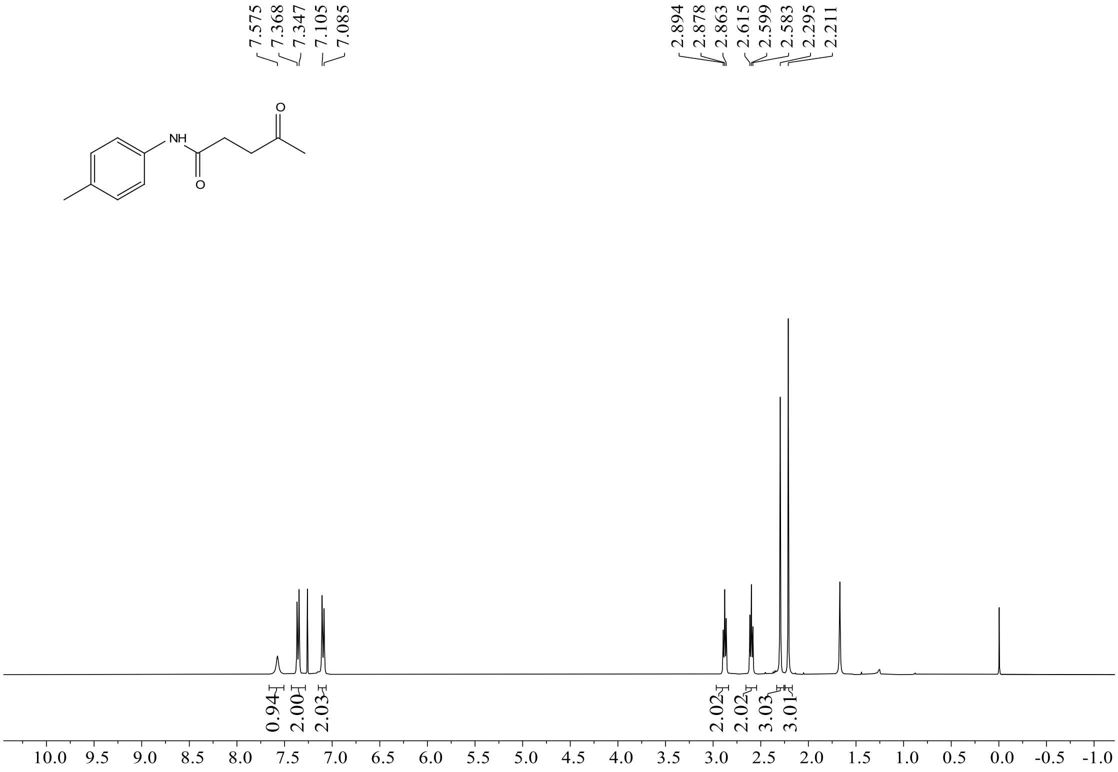
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3a



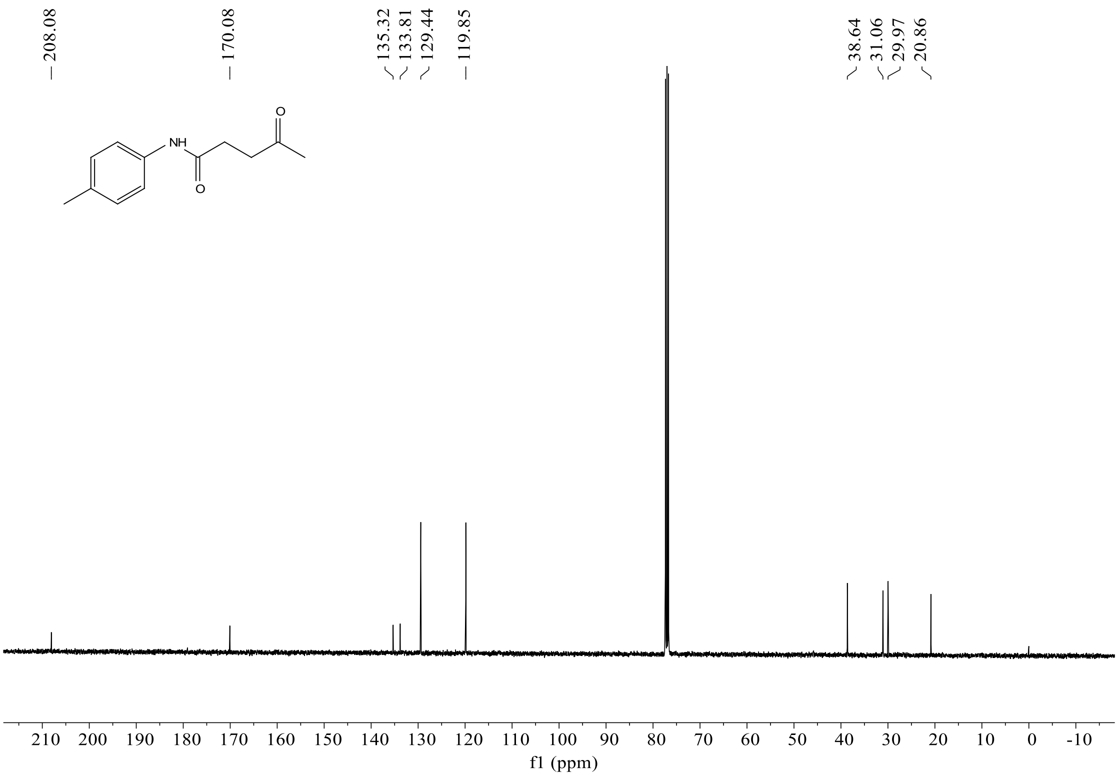
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3a



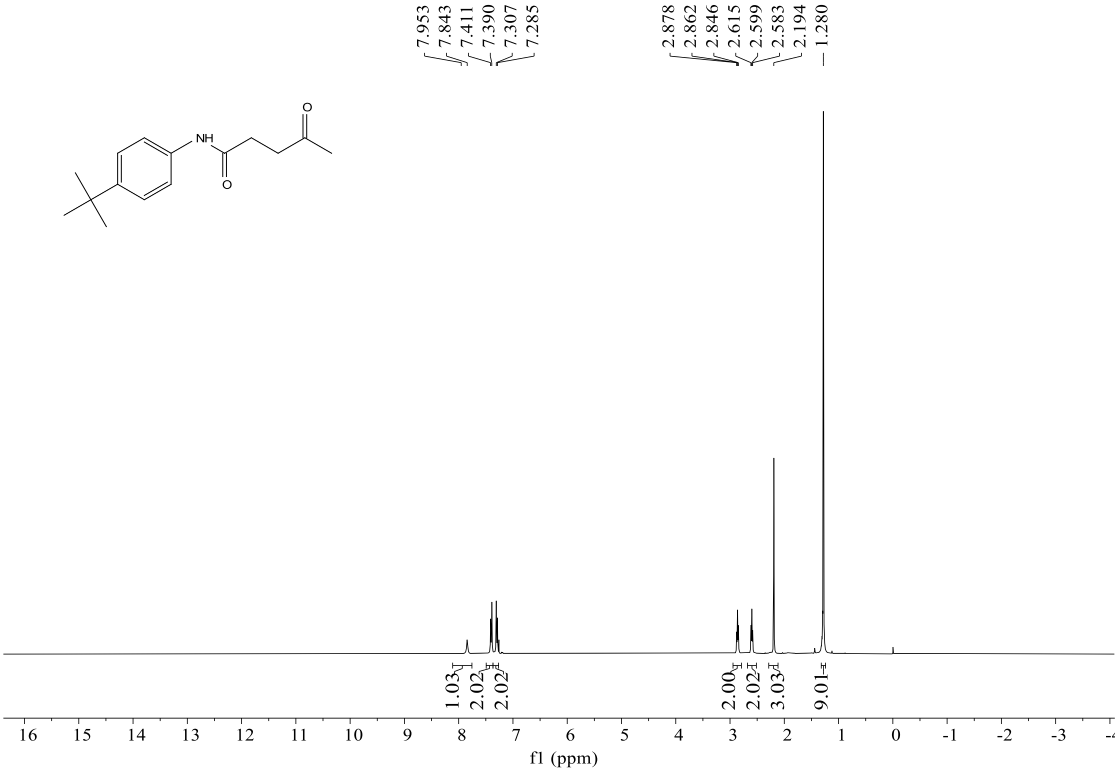
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3b



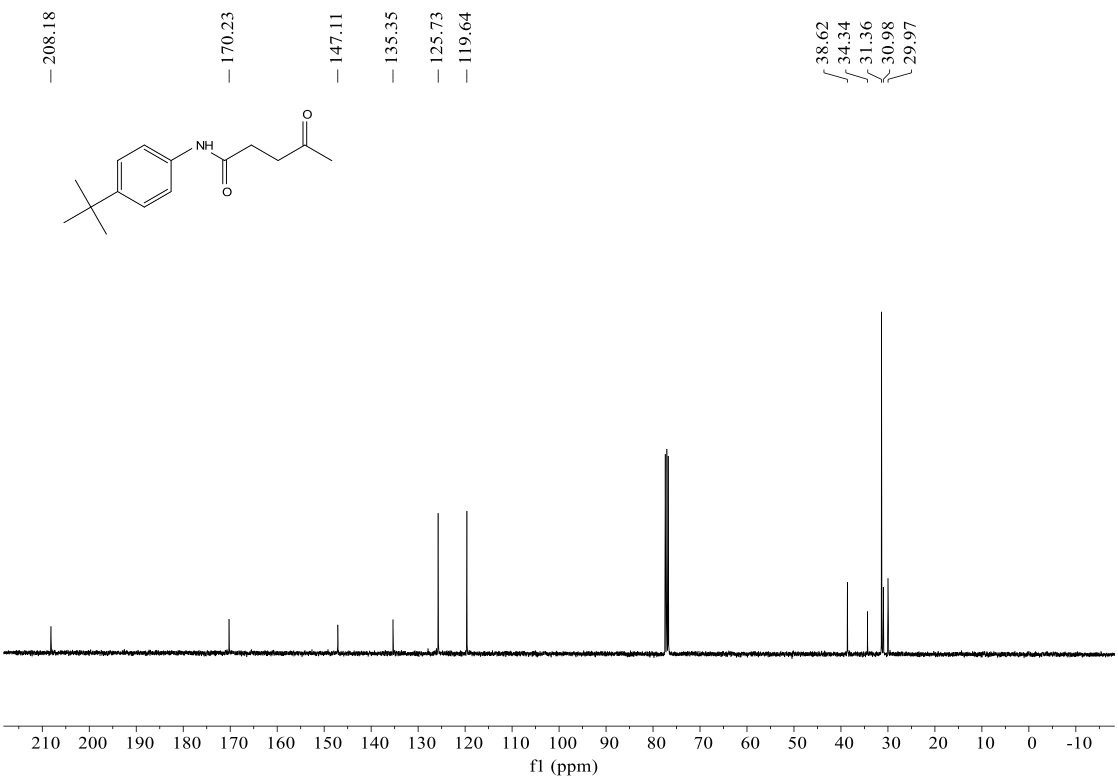
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3b



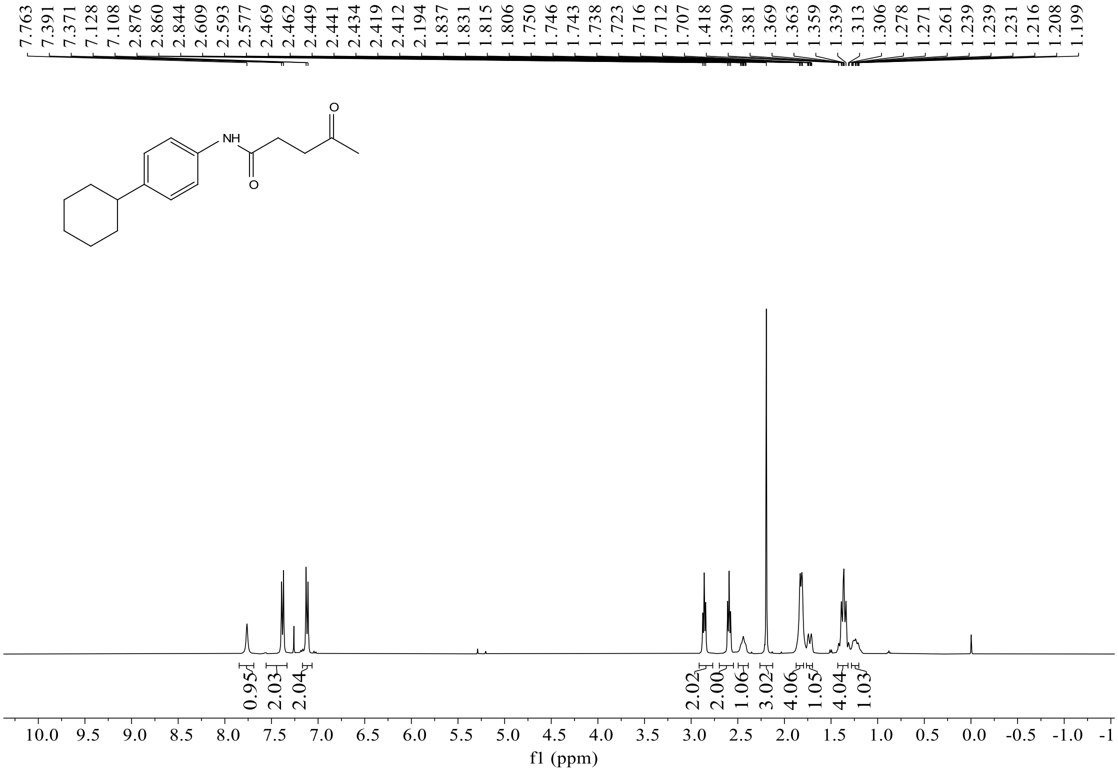
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3c



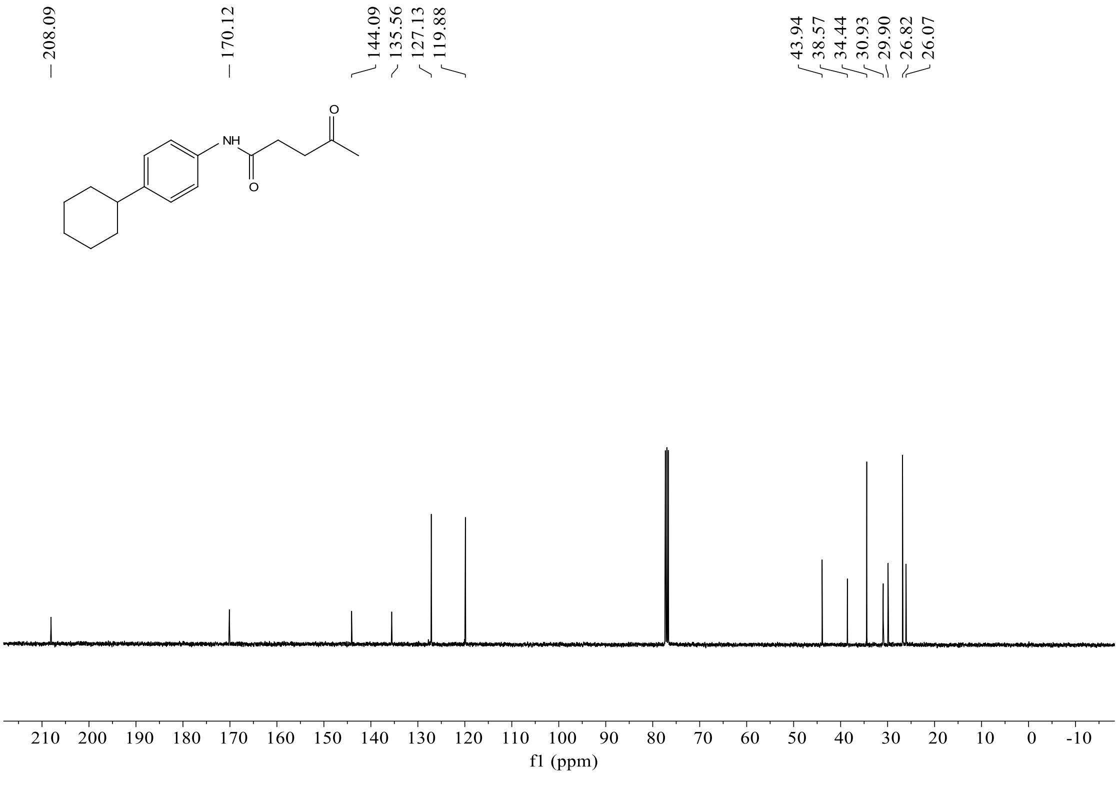
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3c



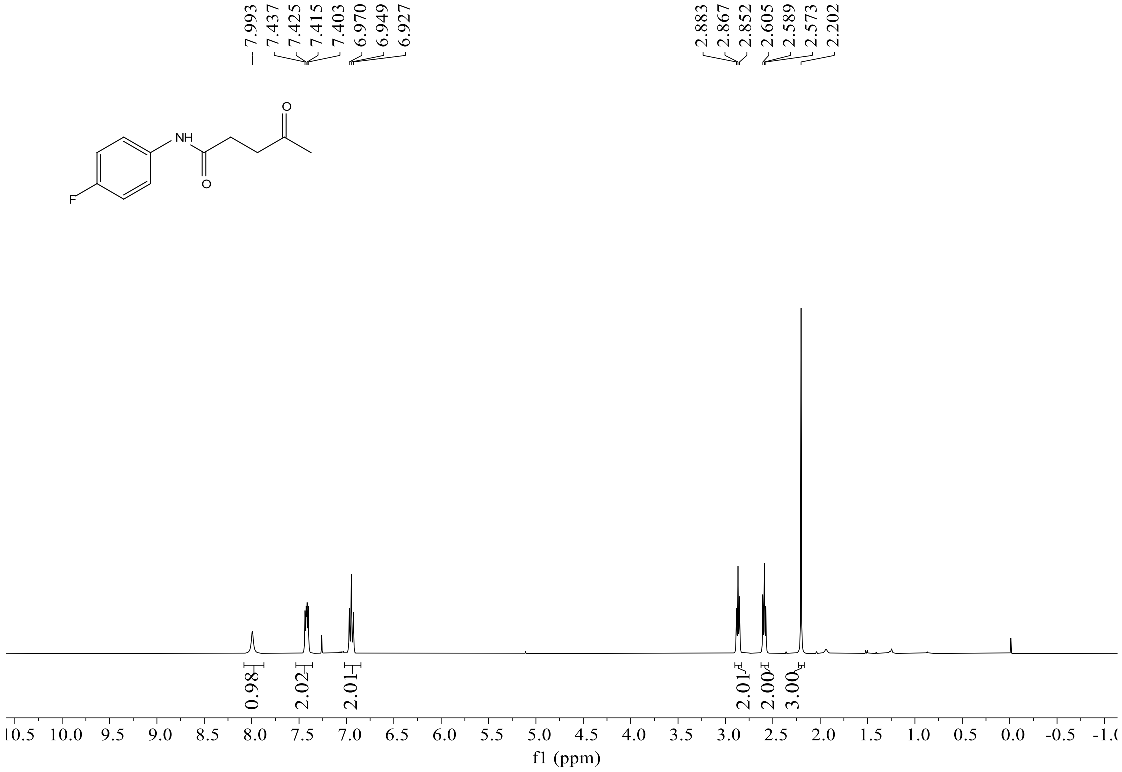
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3d



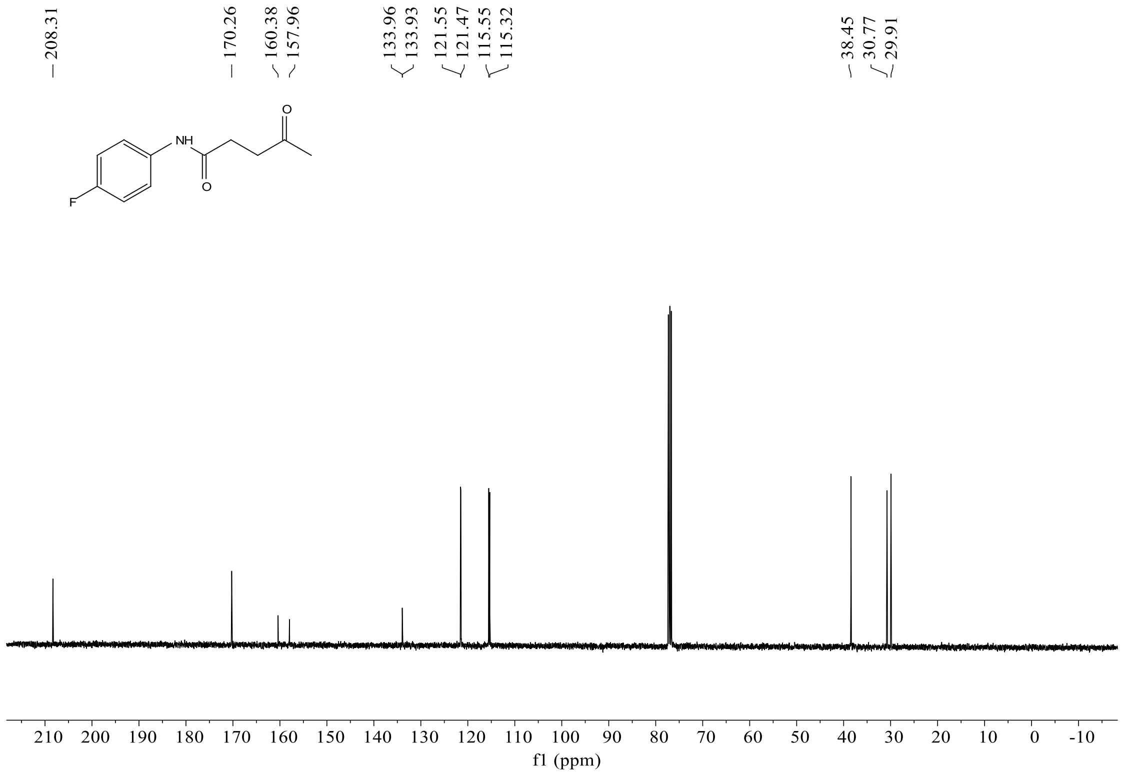
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3d



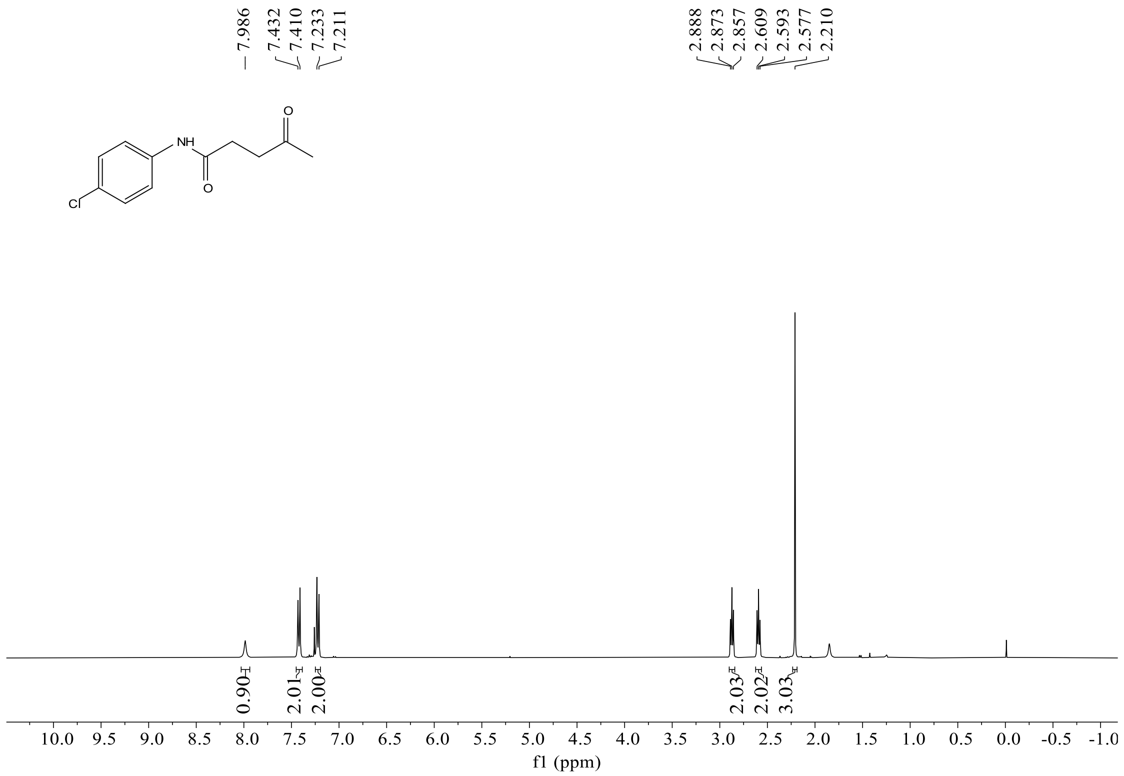
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3e



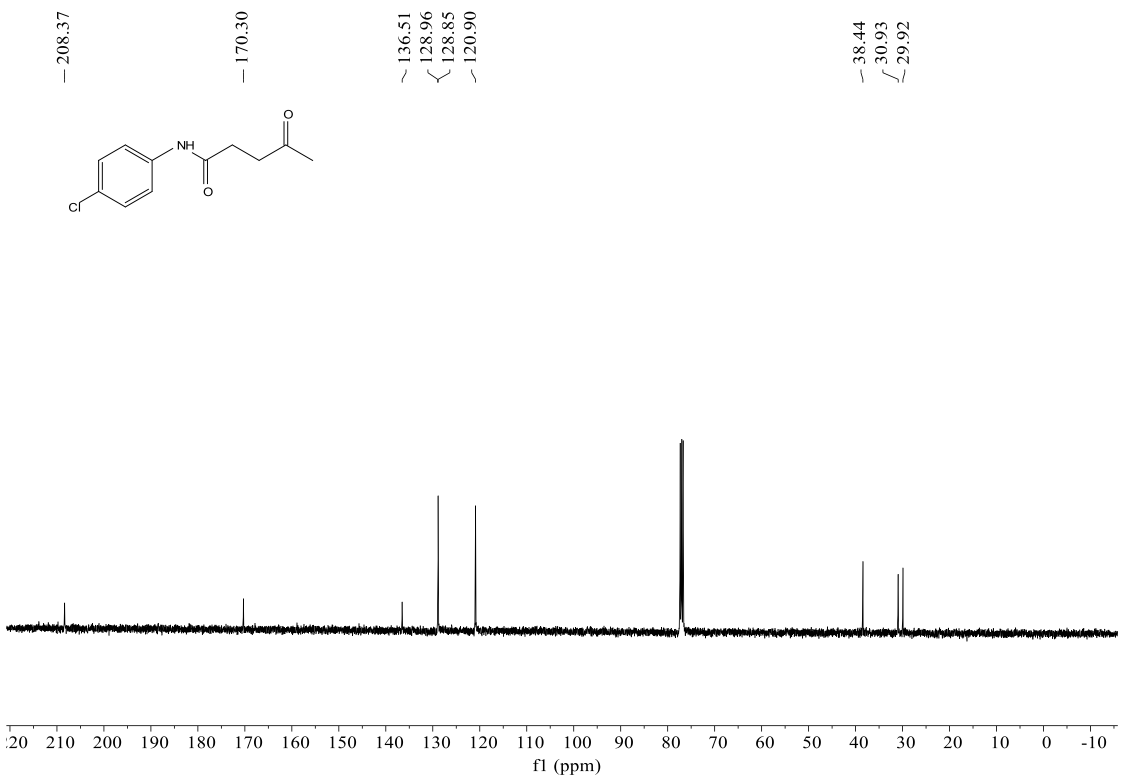
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3e



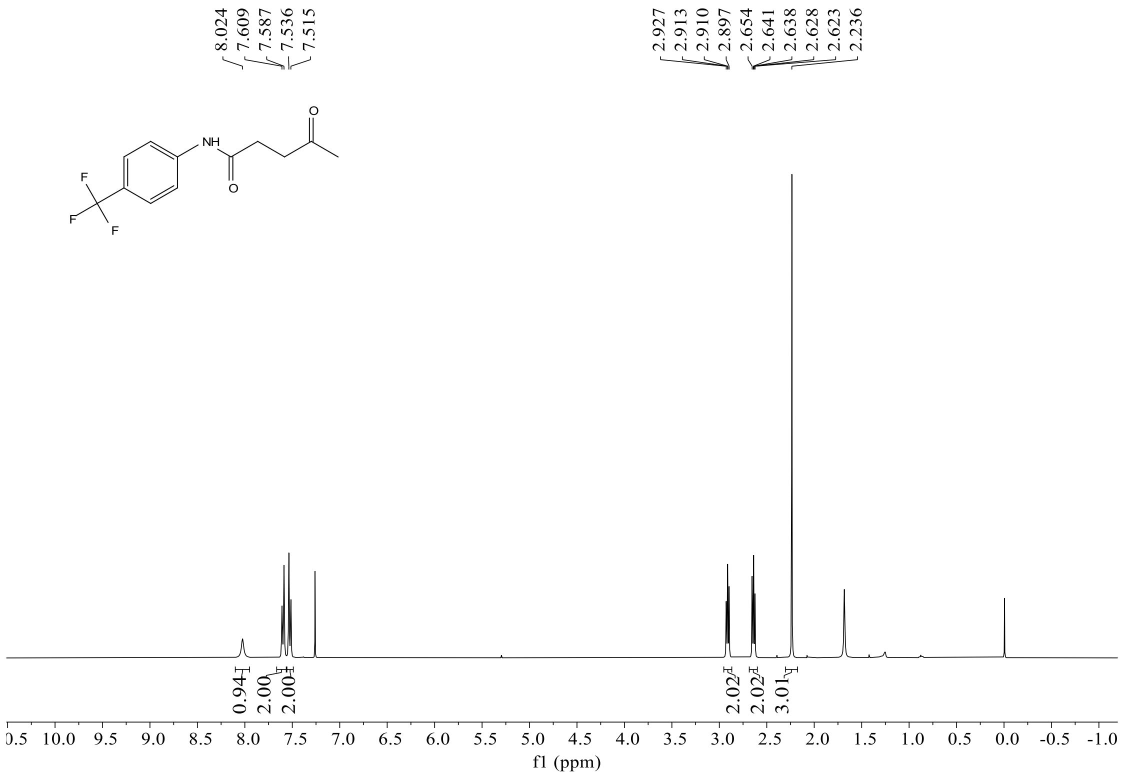
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3f



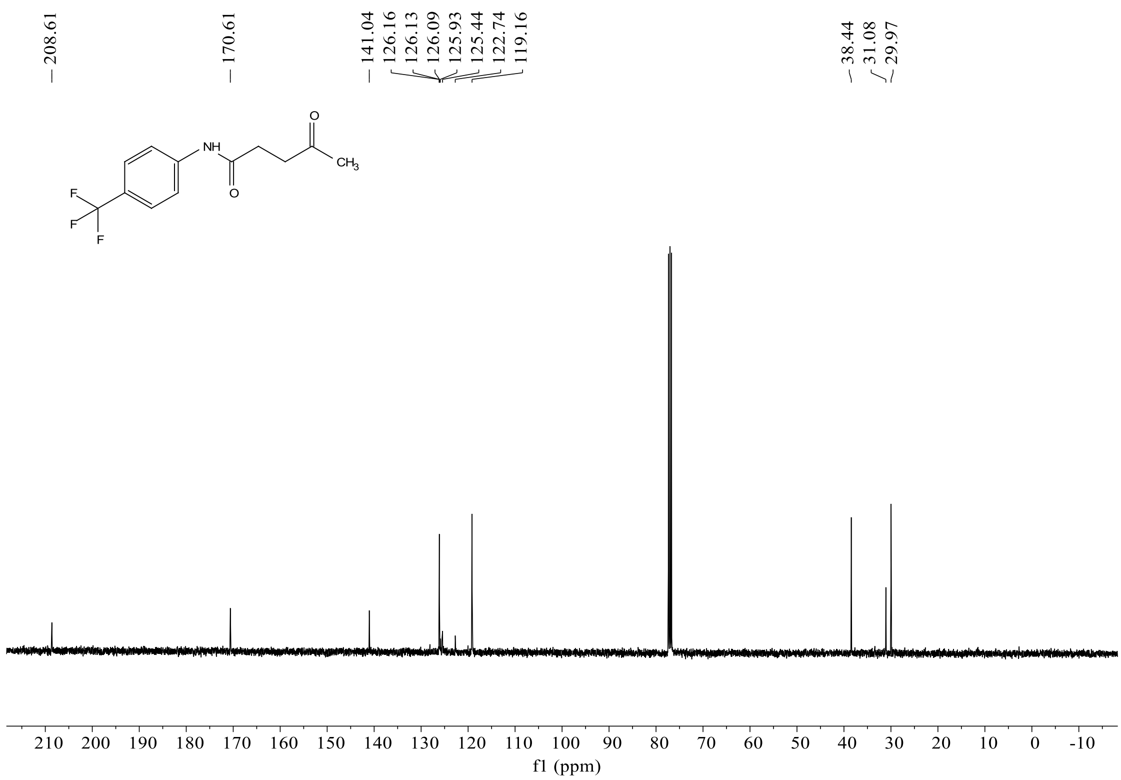
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3f



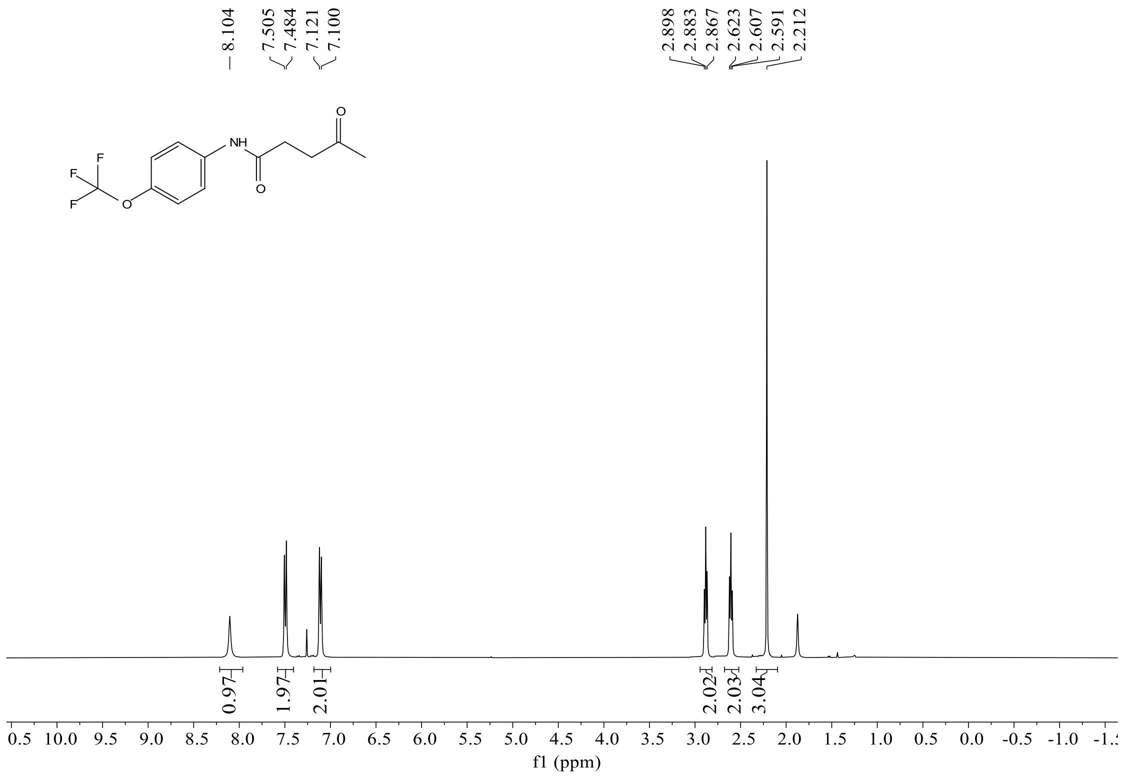
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3g



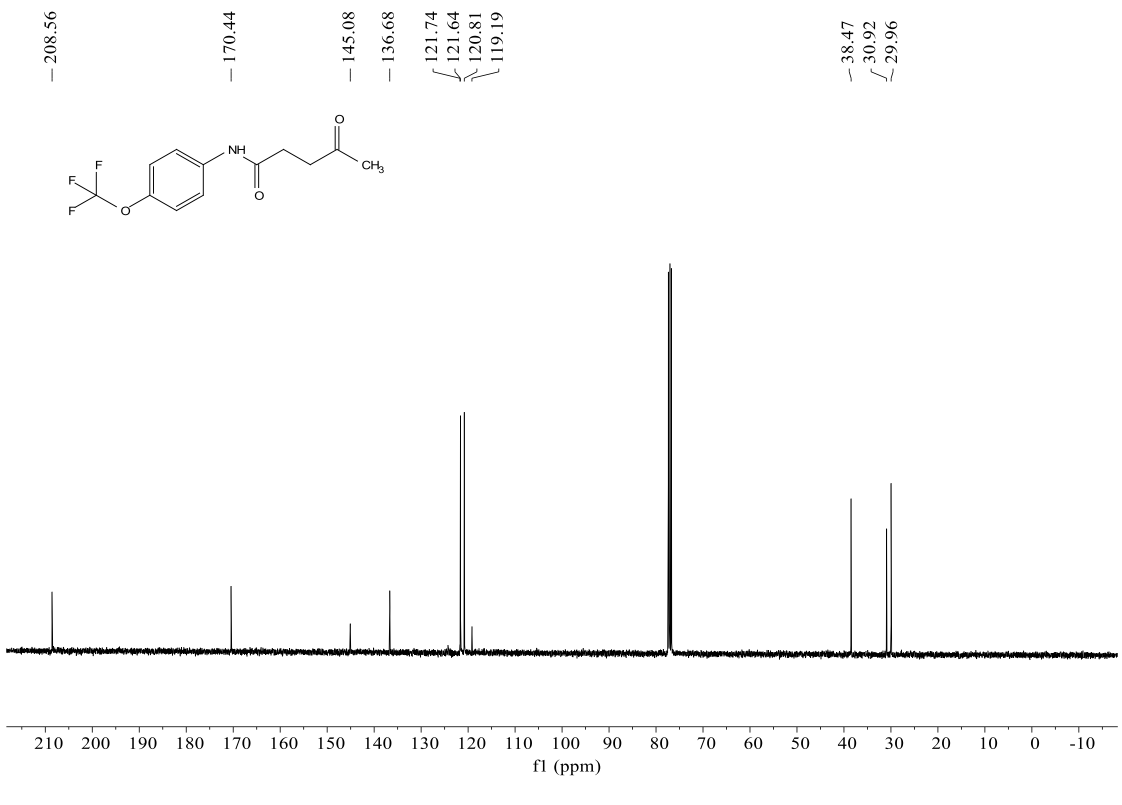
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3g



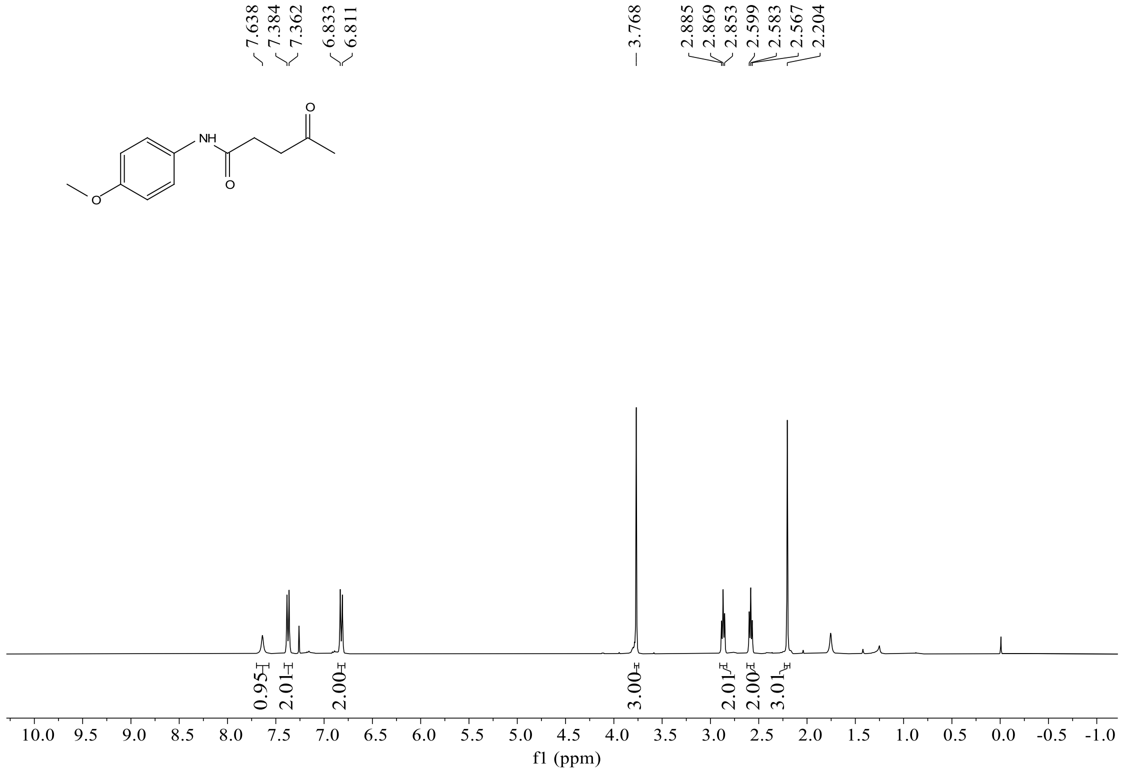
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3h



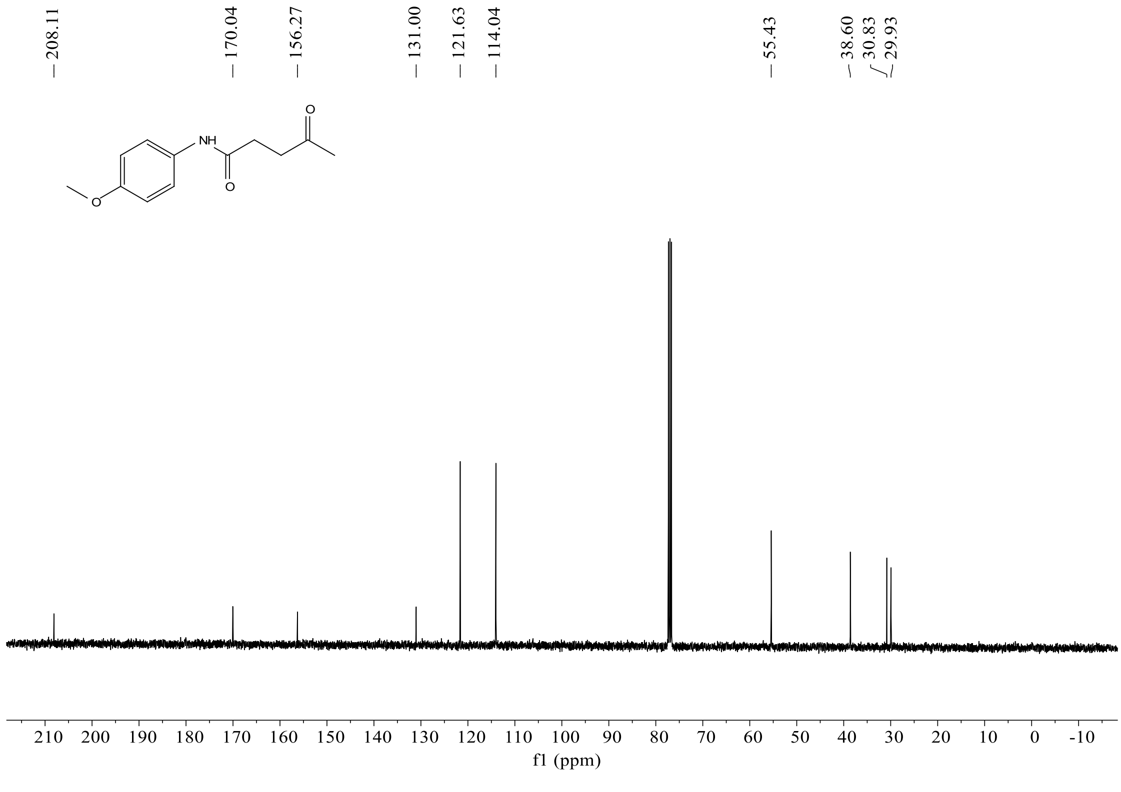
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3h



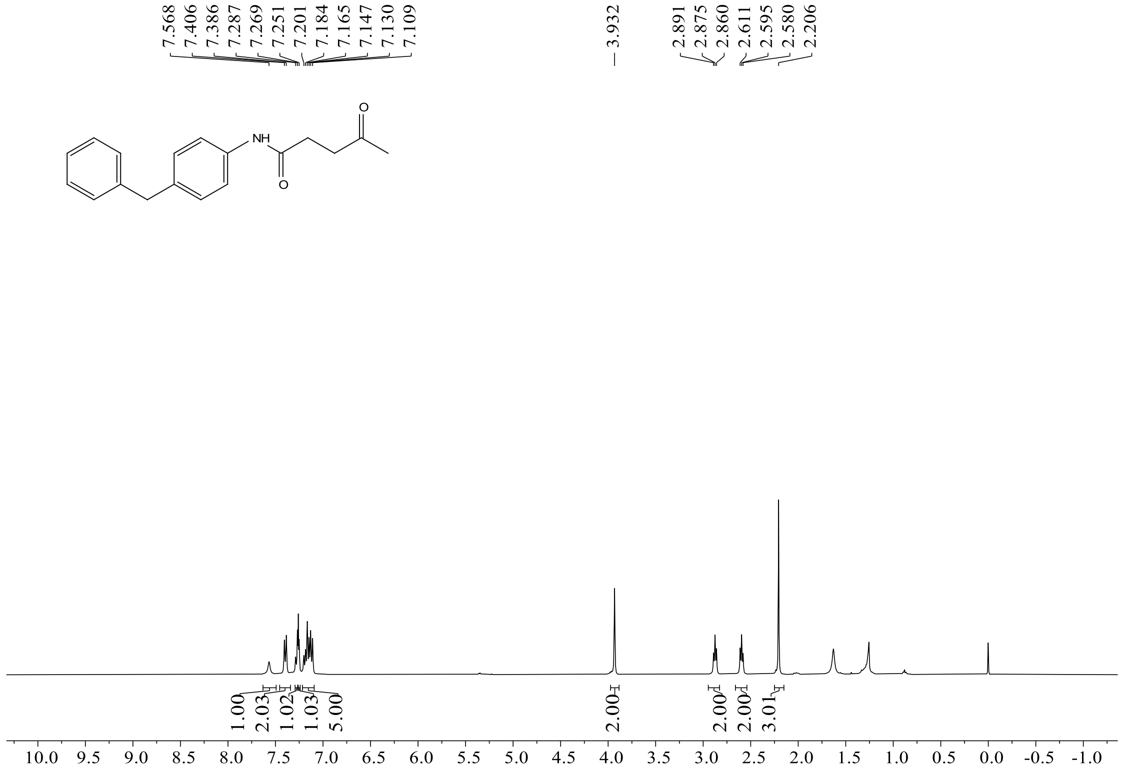
[1]H NMR (400 MHz, CDCl$_3$) spectrum of 3i



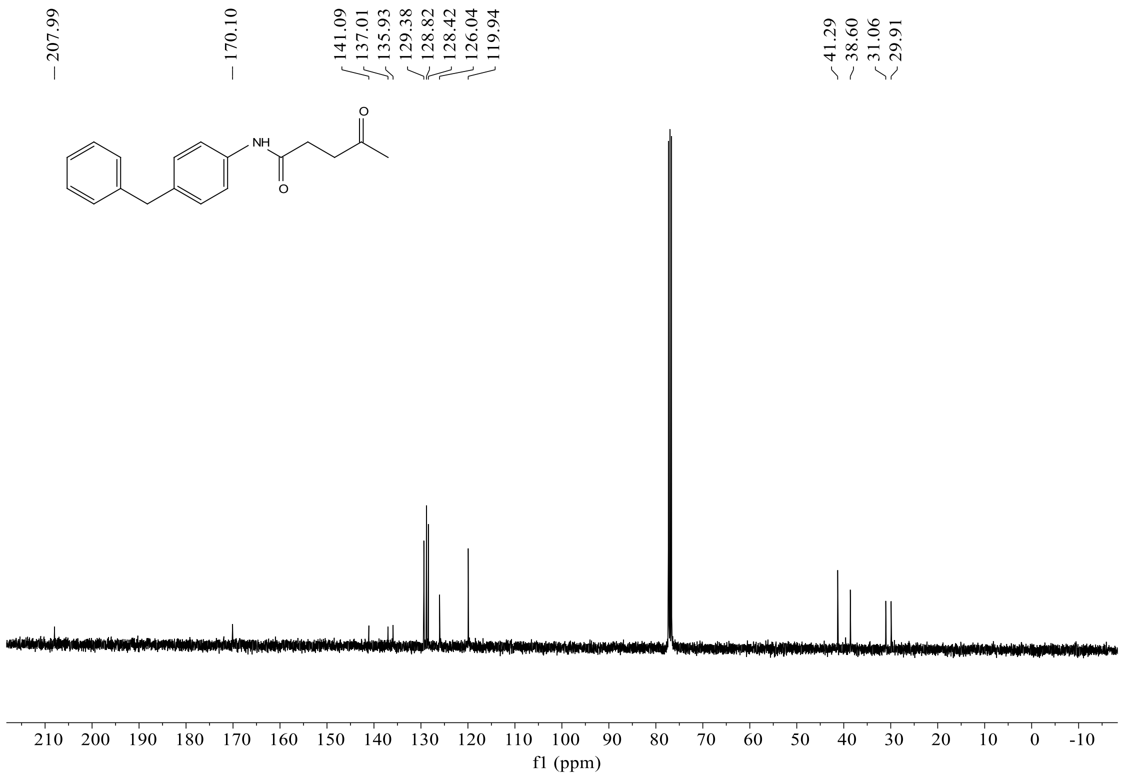
[13]C NMR (100 MHz, CDCl$_3$) spectrum of 3i



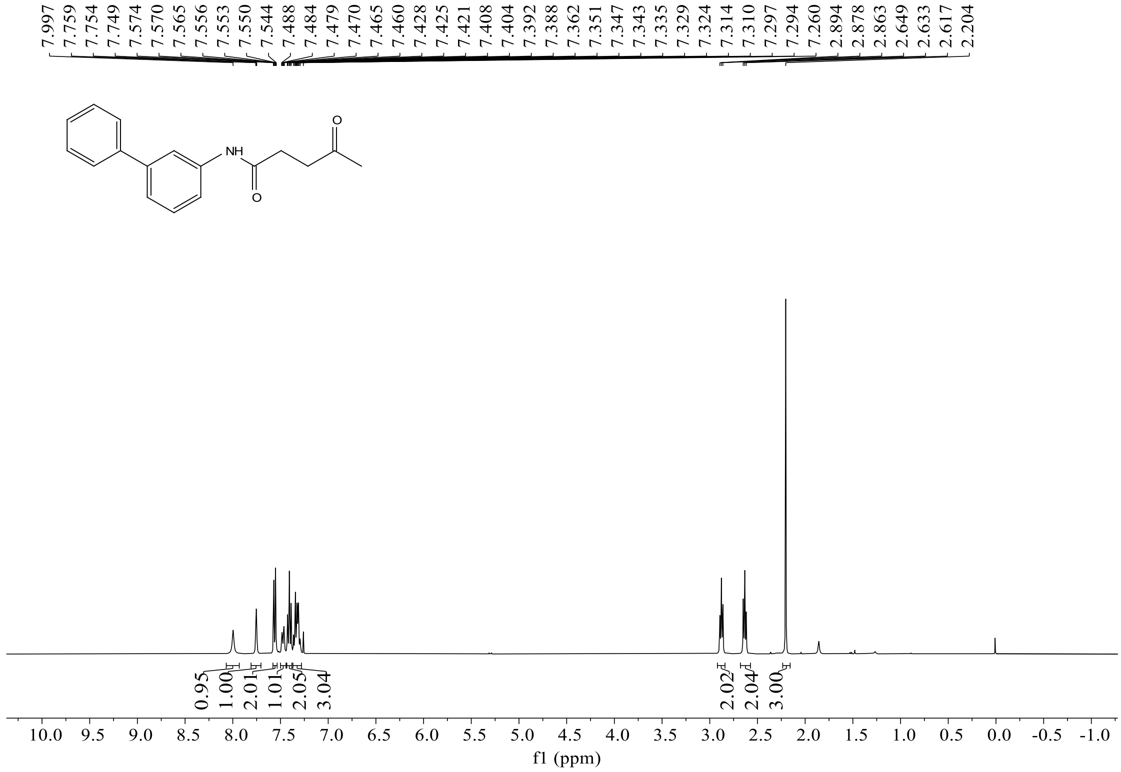
[1]H NMR (400 MHz, CDCl$_3$) spectrum of 3j



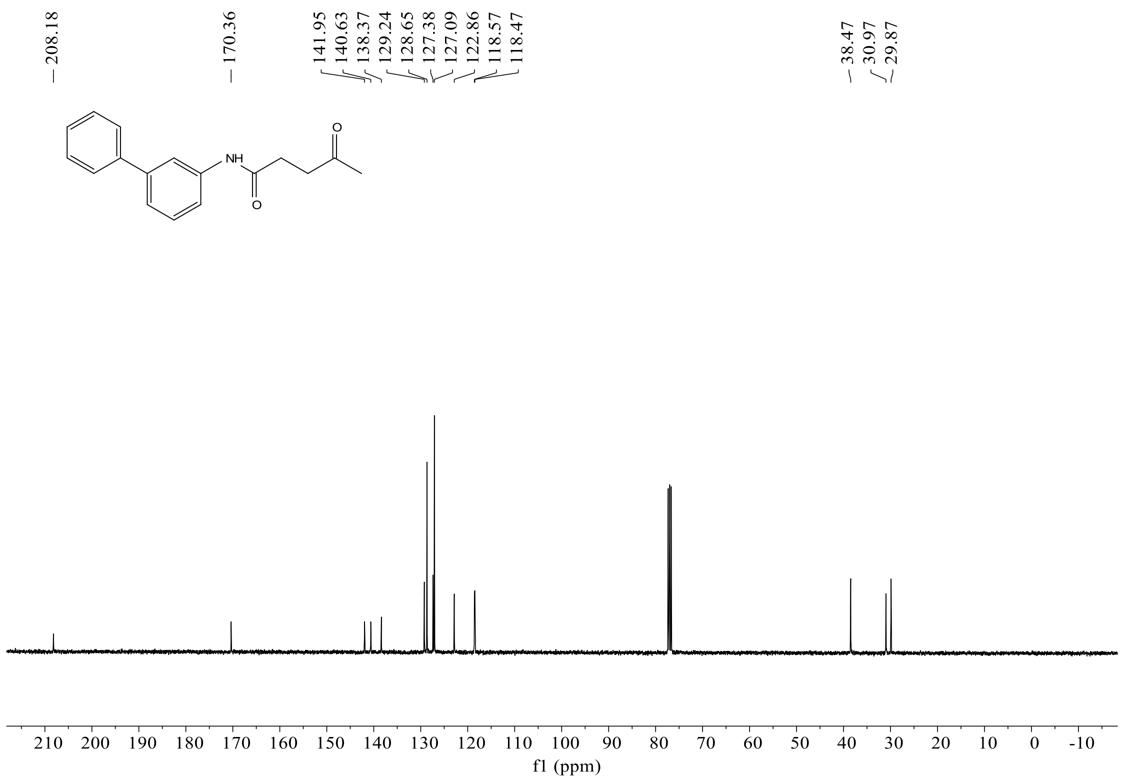
[13]C NMR (100 MHz, CDCl$_3$) spectrum of 3j



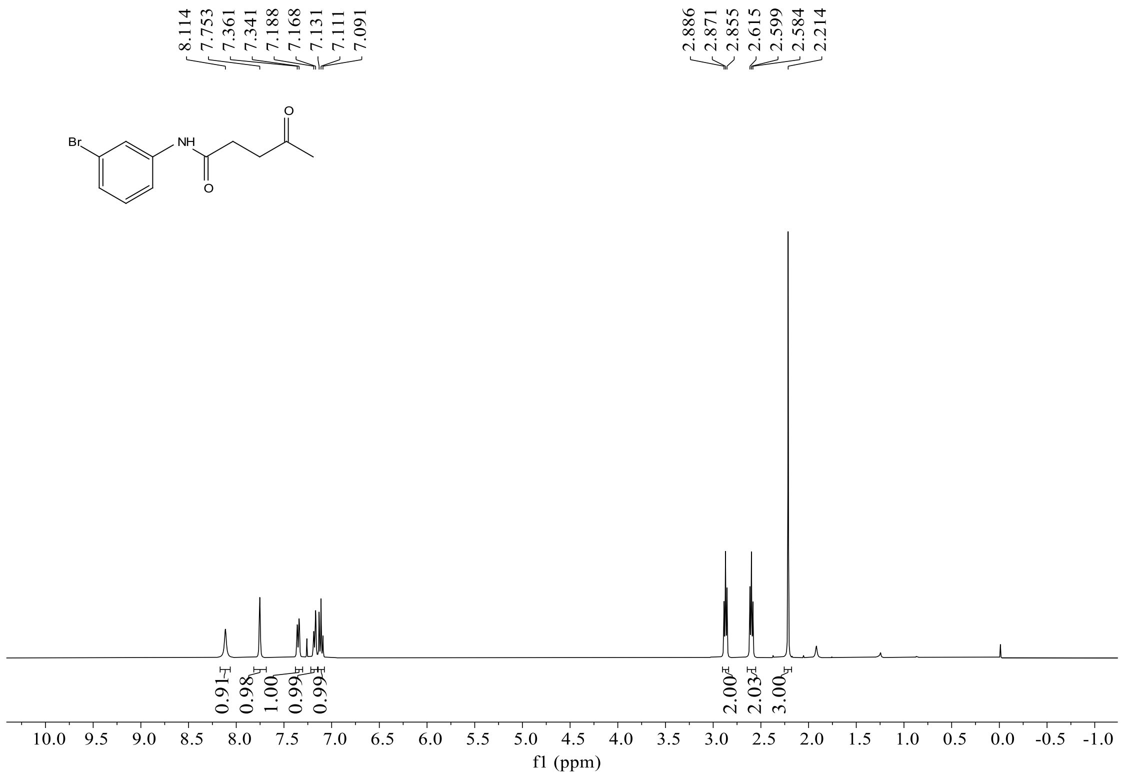
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3k



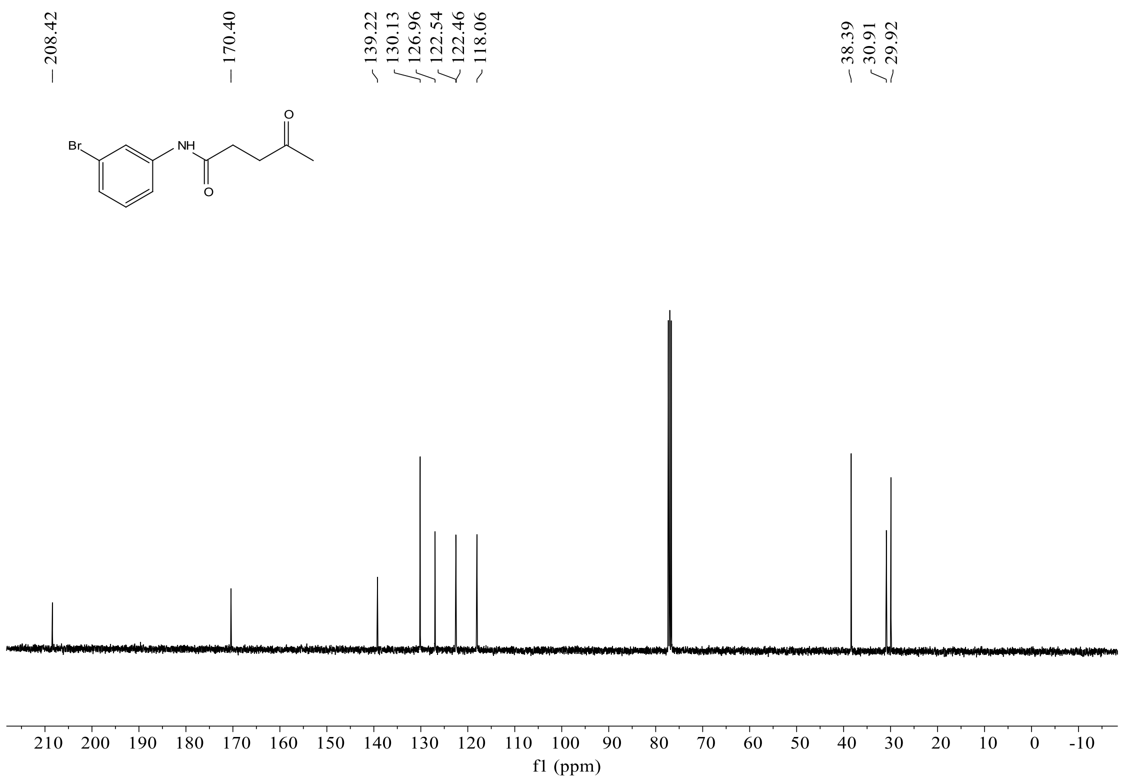
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3k



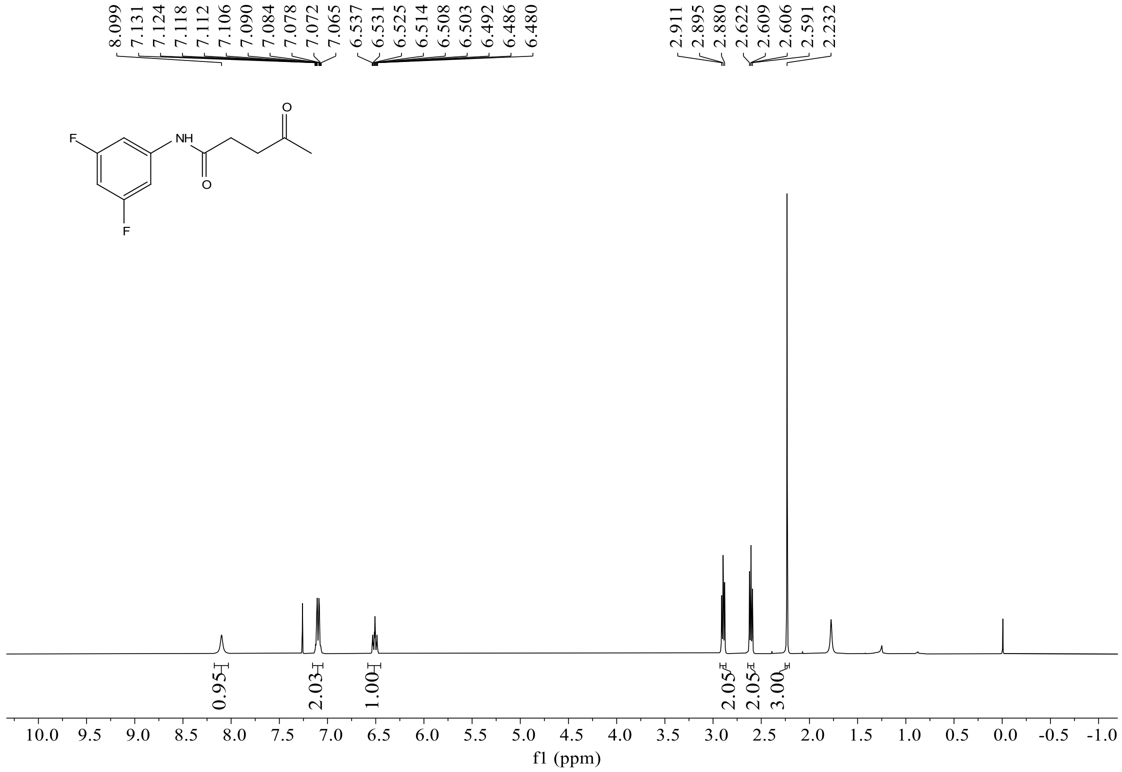
[1]H NMR (400 MHz, CDCl$_3$) spectrum of 3l



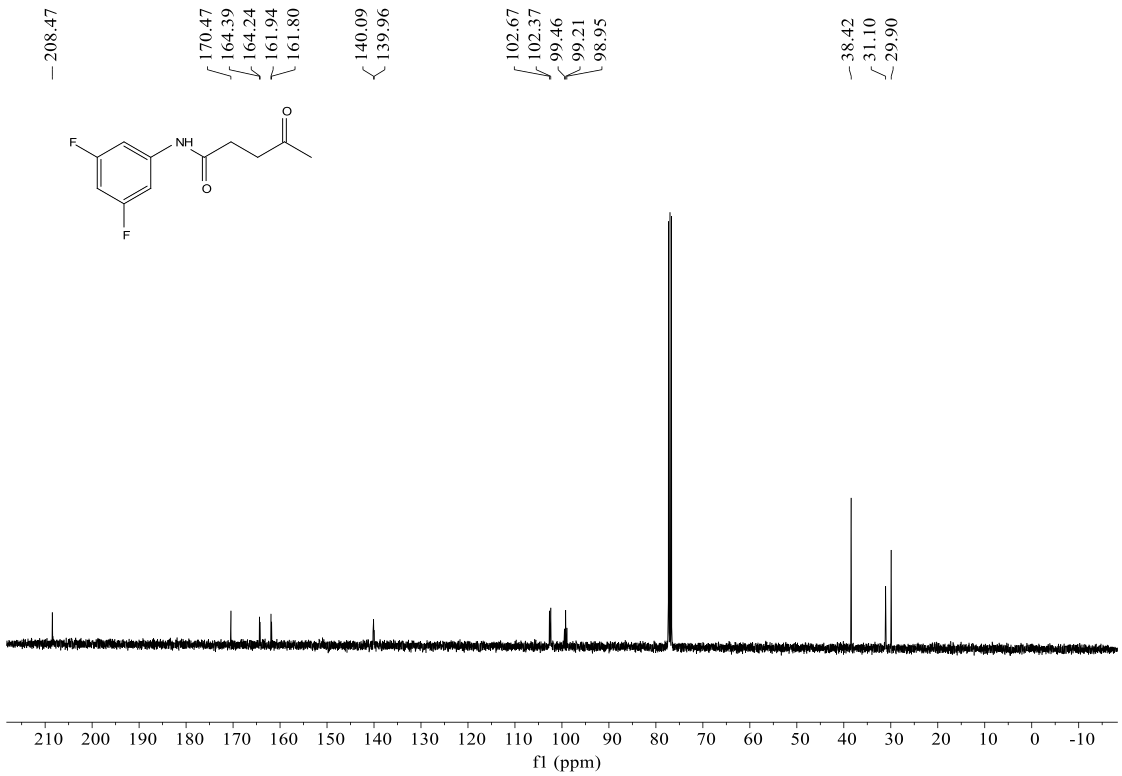
[13]C NMR (100 MHz, CDCl$_3$) spectrum of 3l



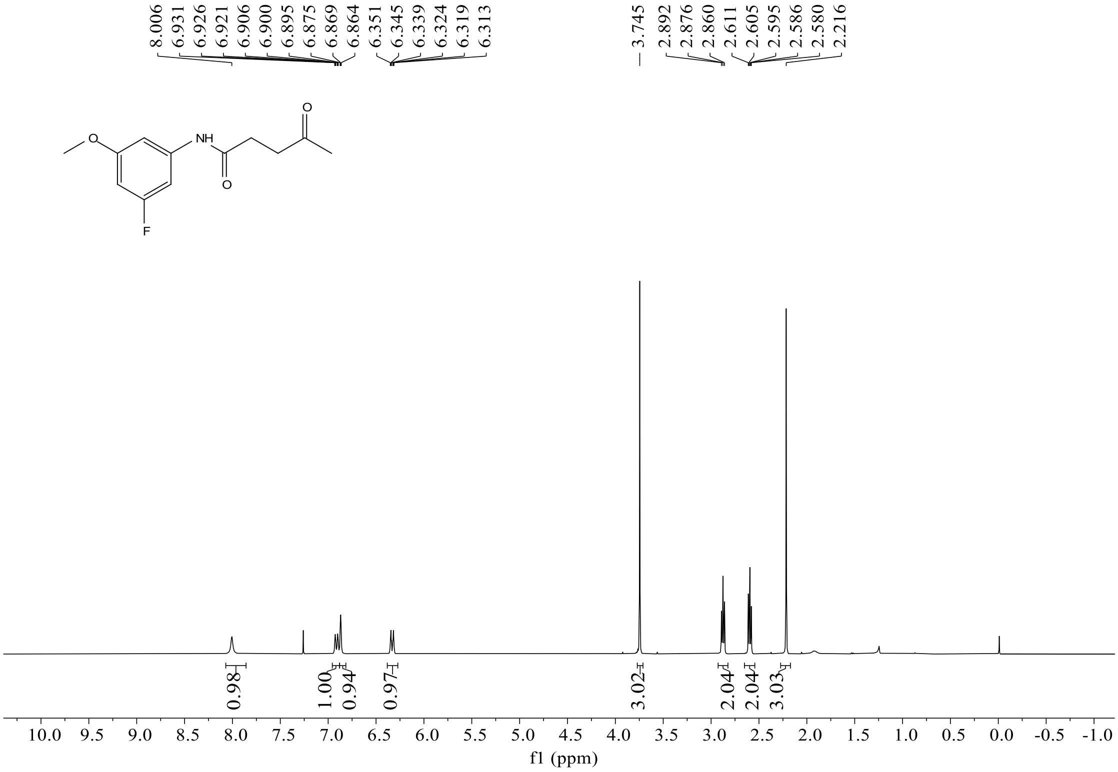
^{1}H NMR (400 MHz, CDCl$_3$) spectrum of 3m



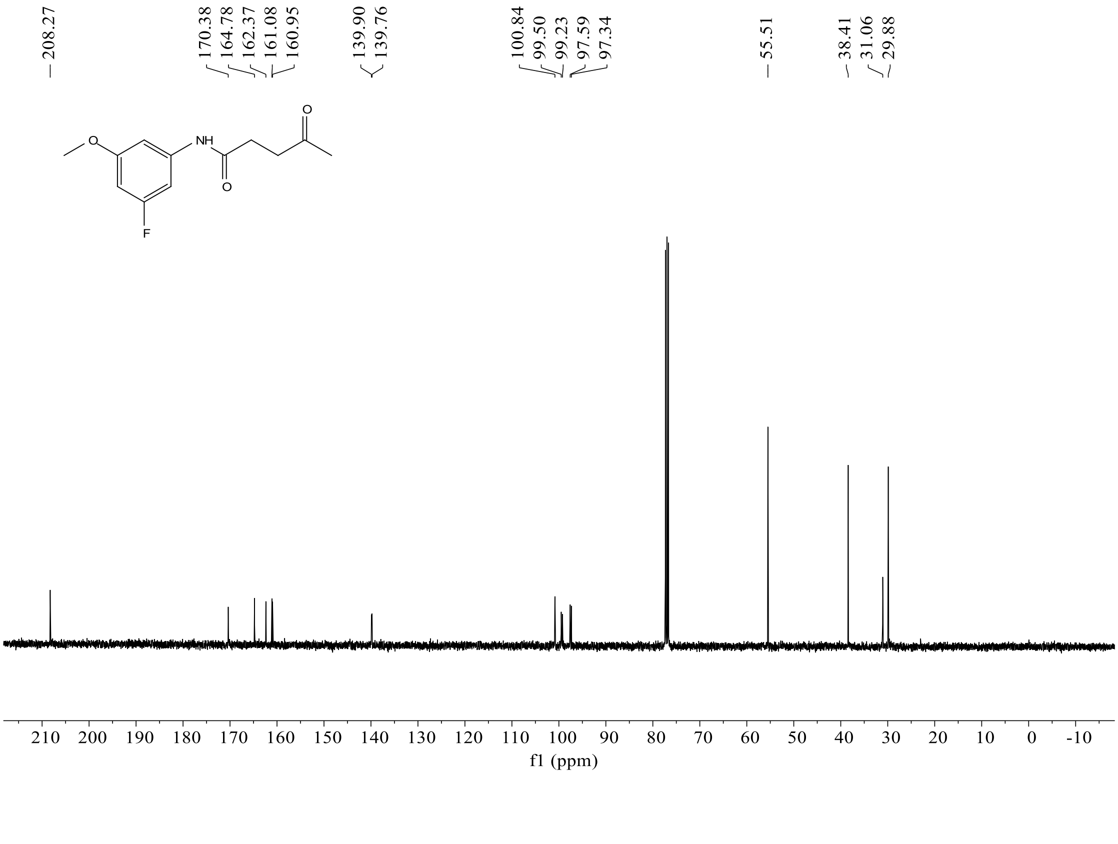
^{13}C NMR (100 MHz, CDCl$_3$) spectrum of 3m



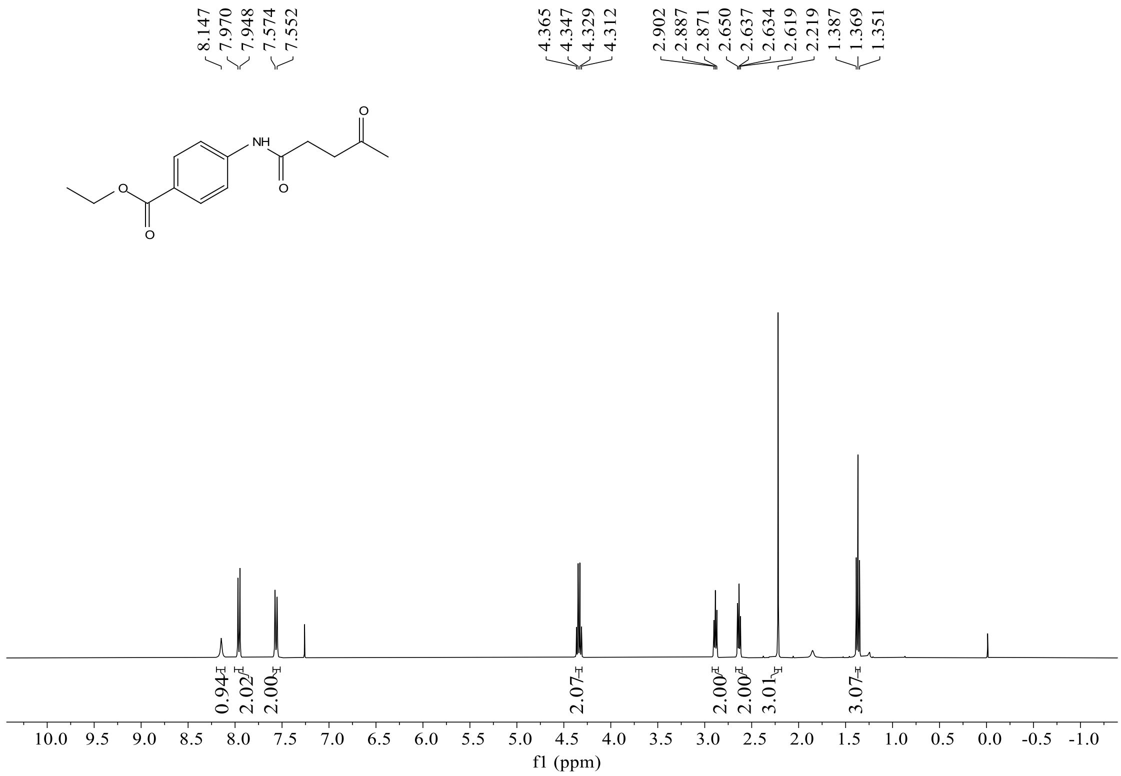
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3n



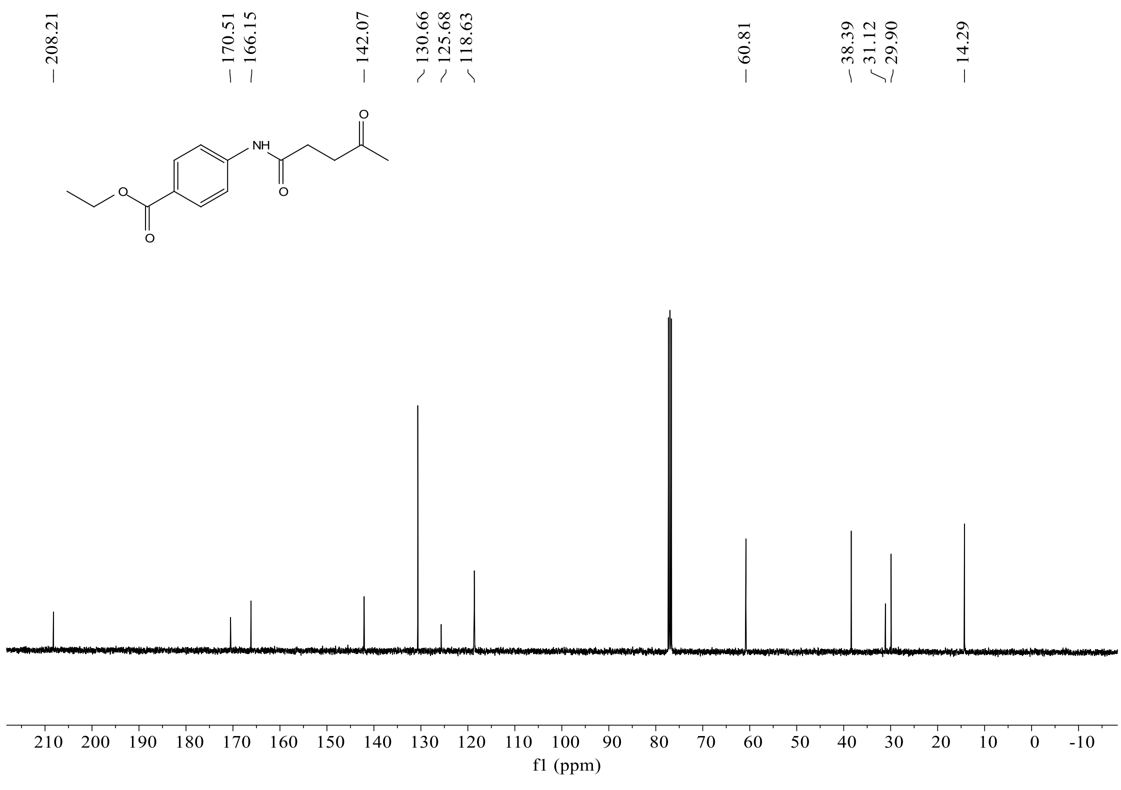
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3n



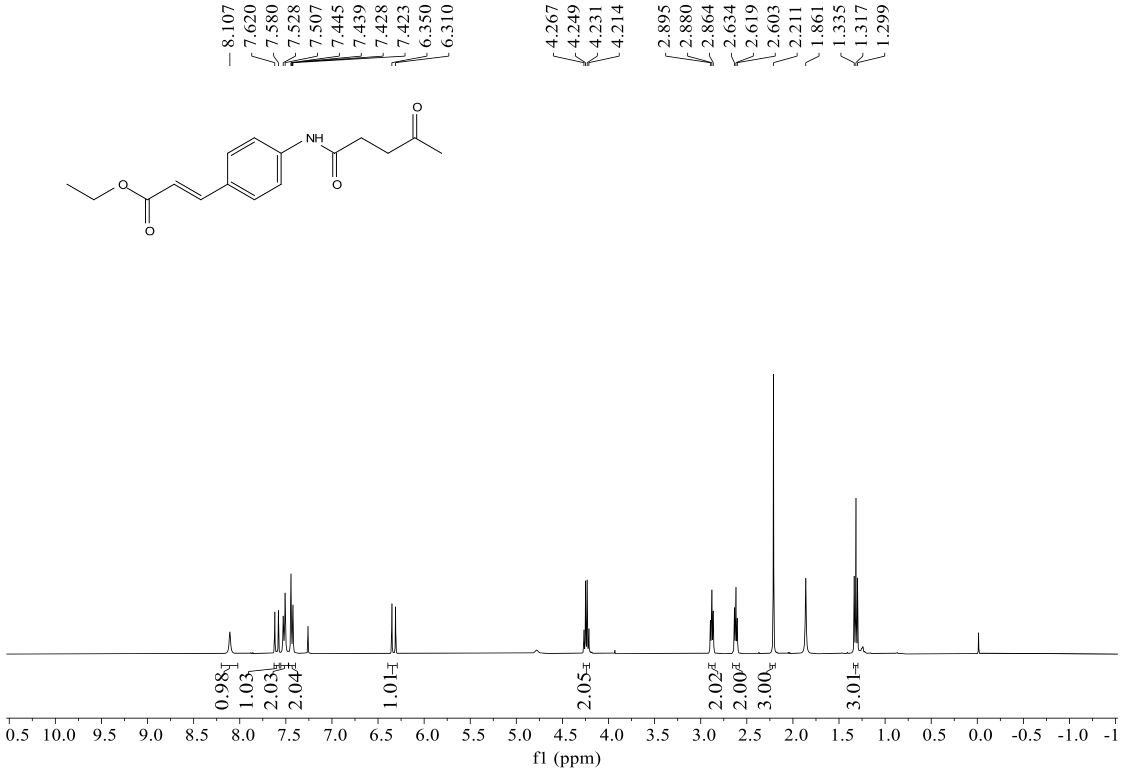
[1]H NMR (400 MHz, CDCl$_3$) spectrum of 3o



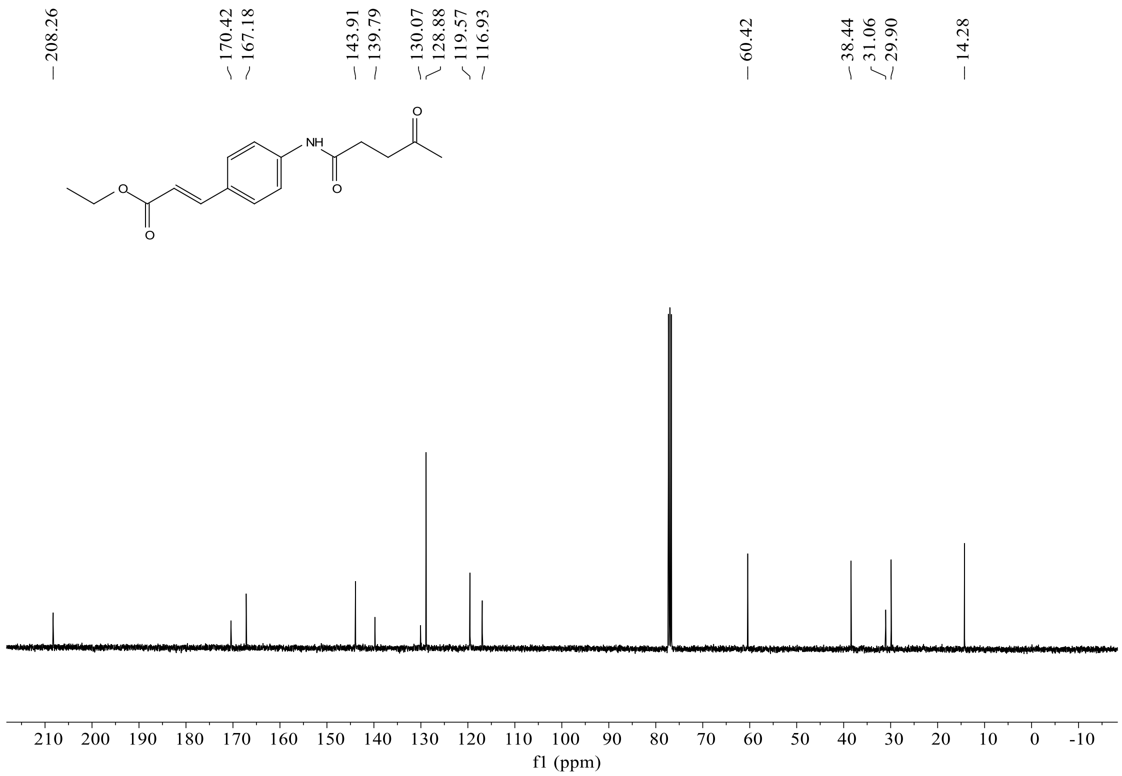
[13]C NMR (100 MHz, CDCl$_3$) spectrum of 3o



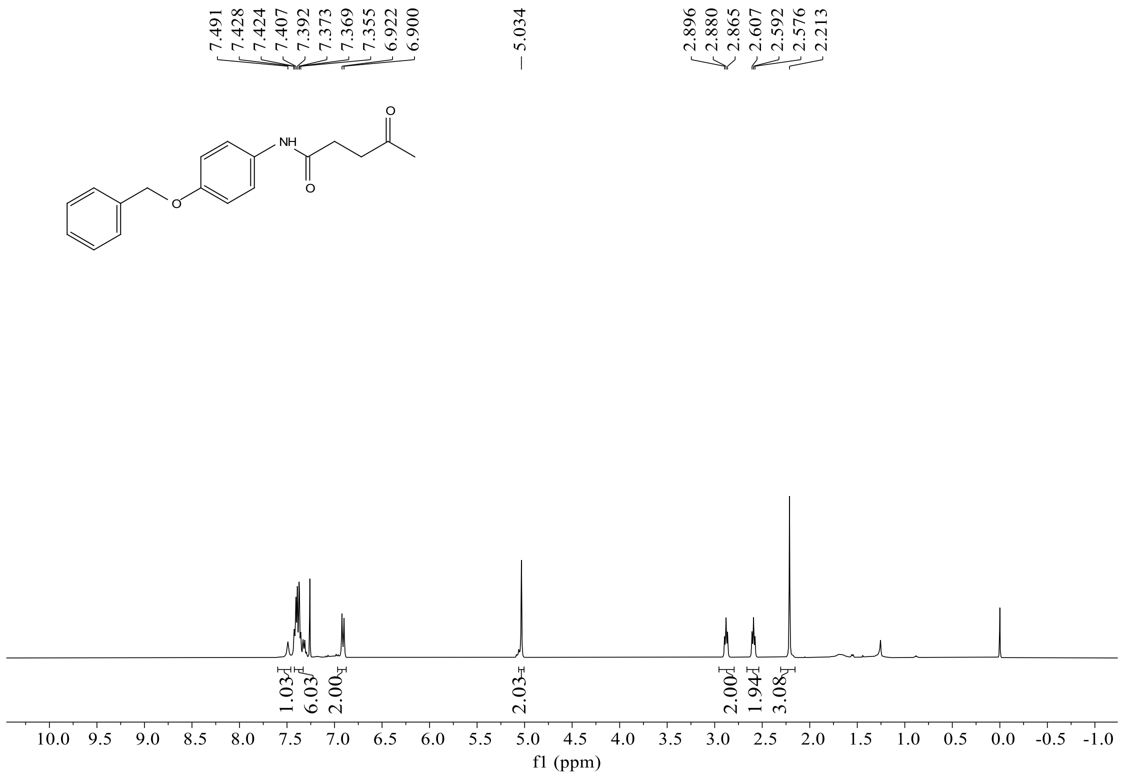
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3p



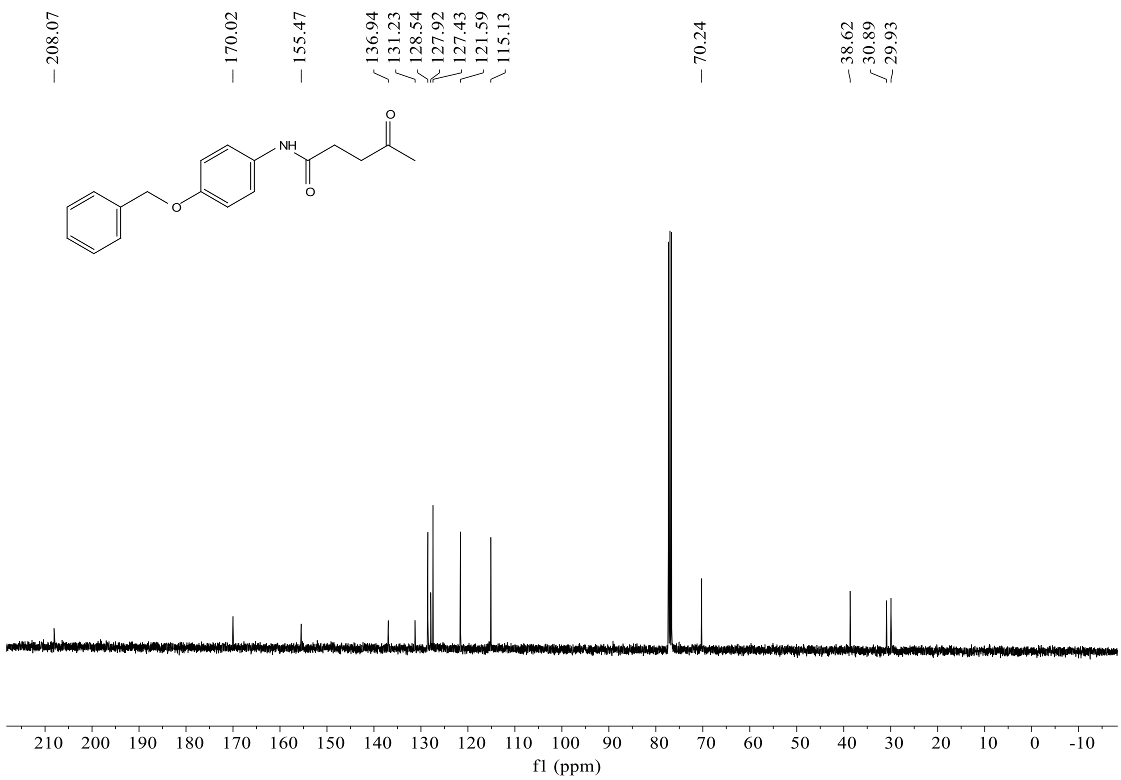
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3p



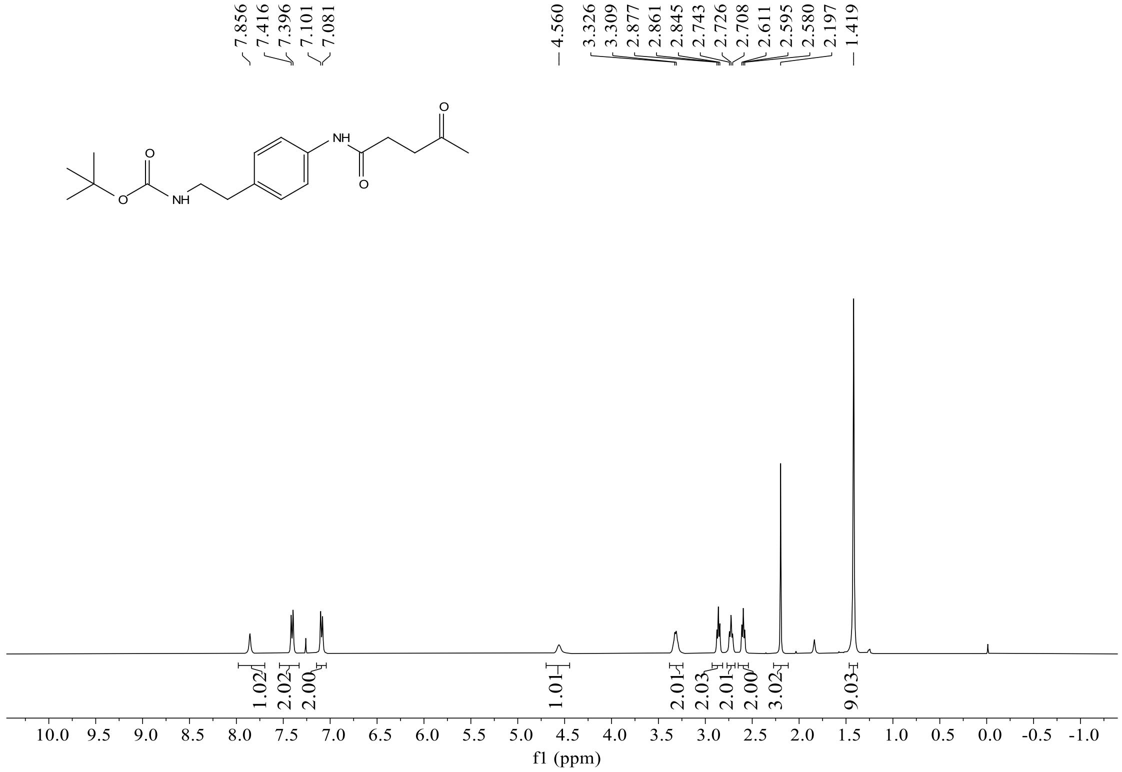
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3q



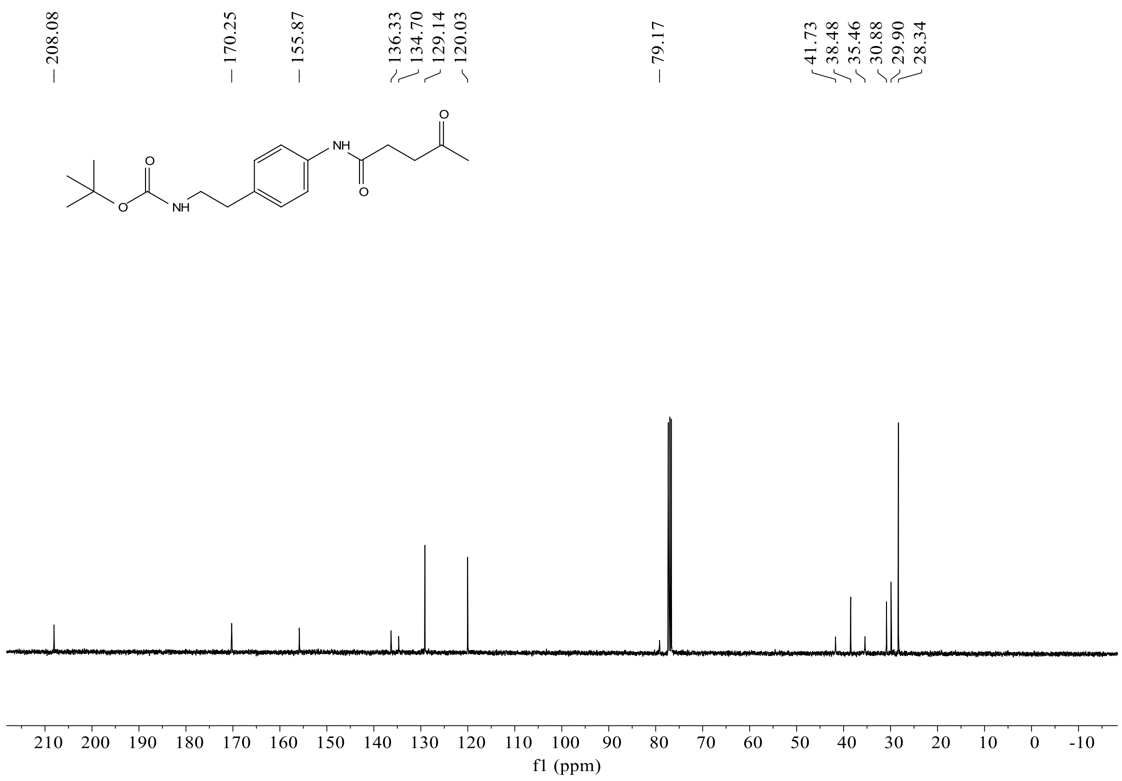
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3q



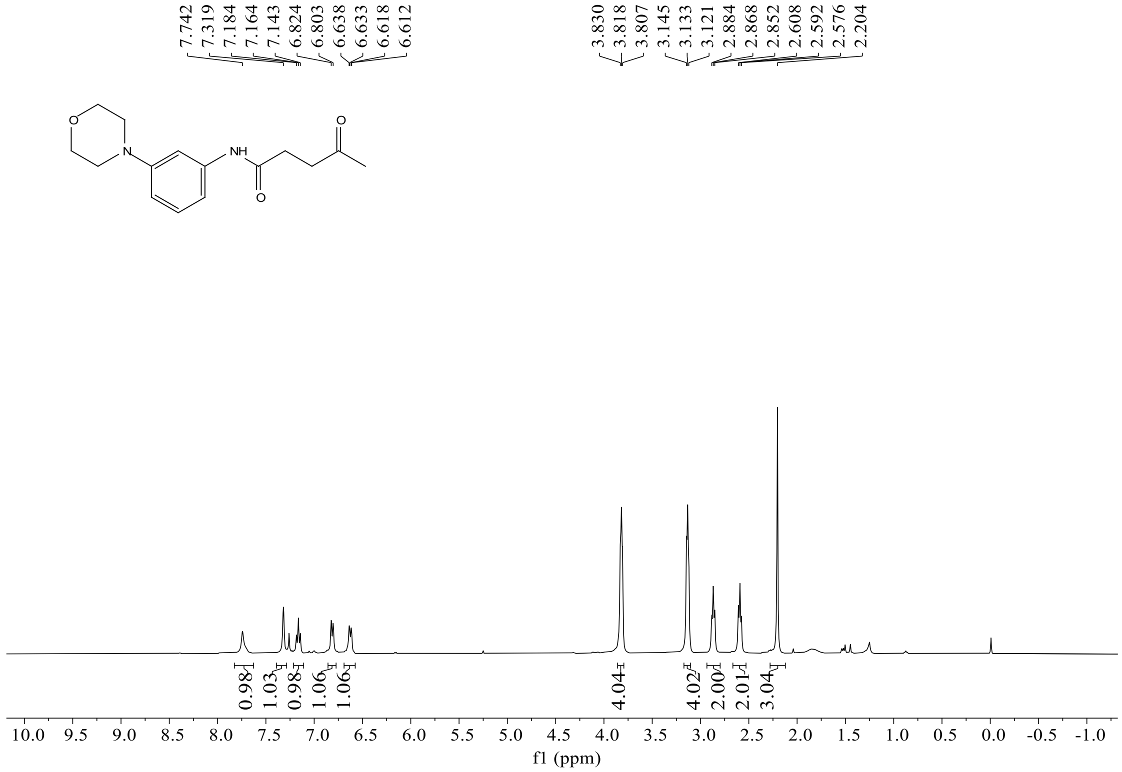
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3r



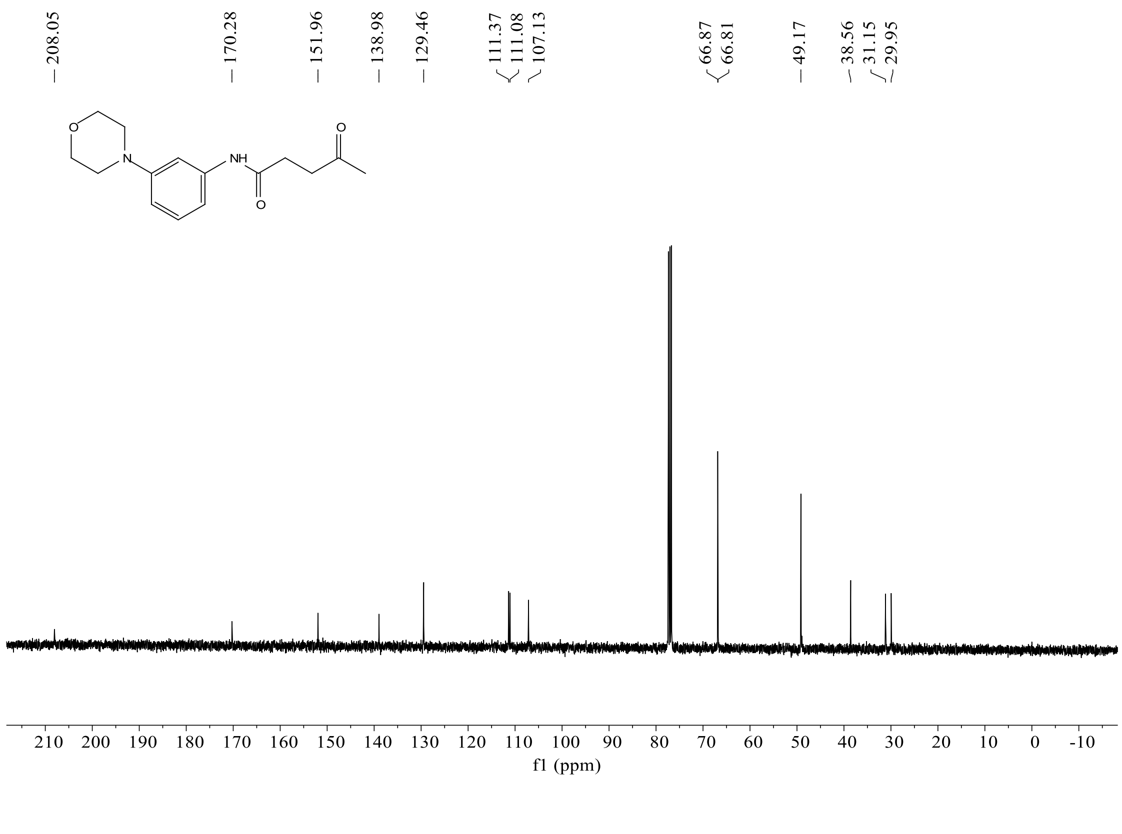
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3r



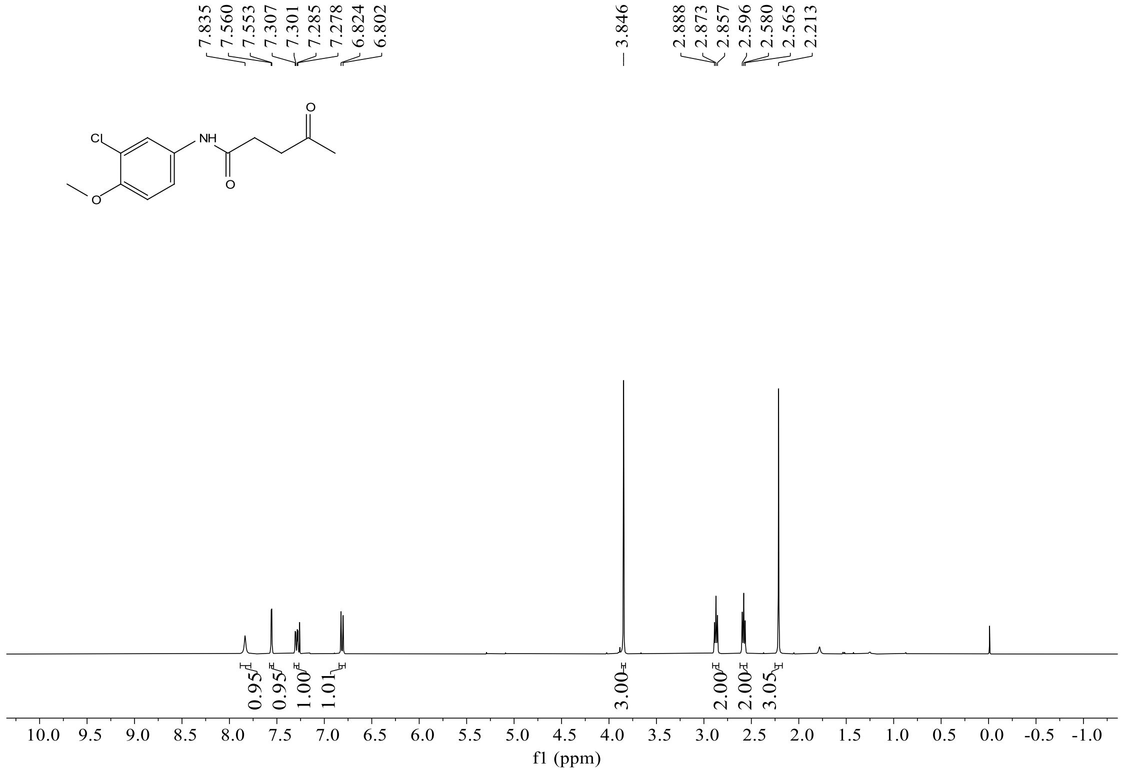
[1]H NMR (400 MHz, CDCl$_3$) spectrum of 3s



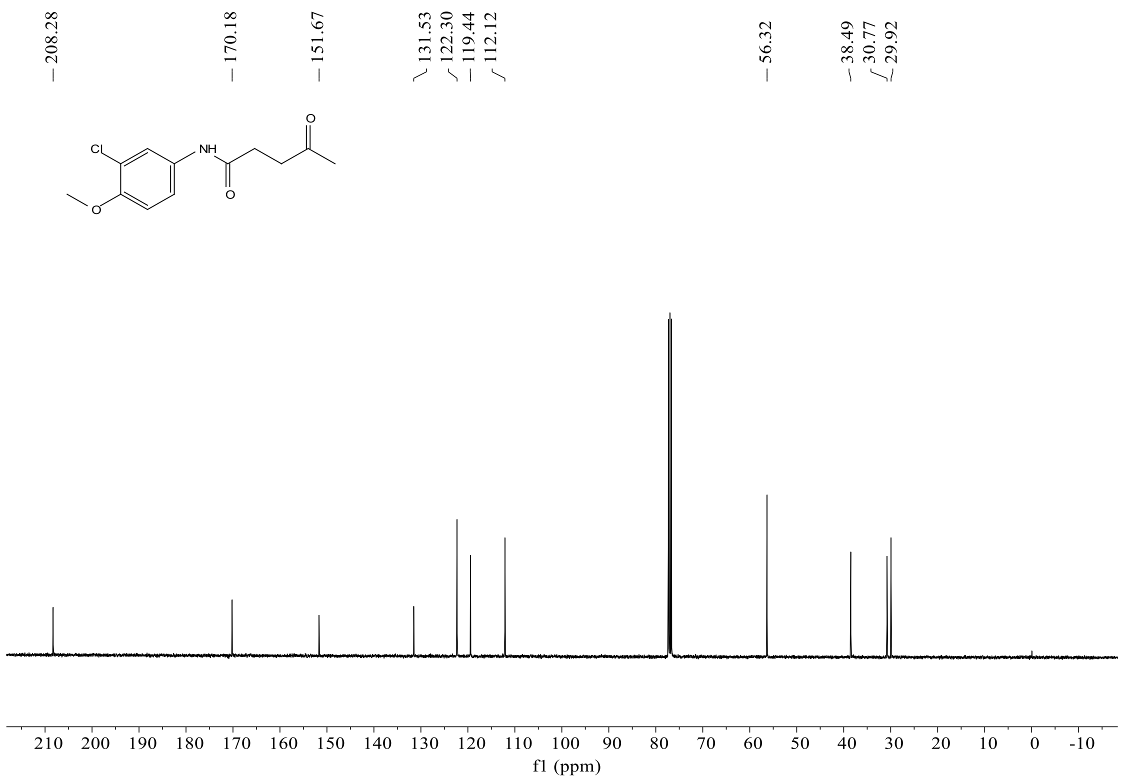
[13]C NMR (100 MHz, CDCl$_3$) spectrum of 3s



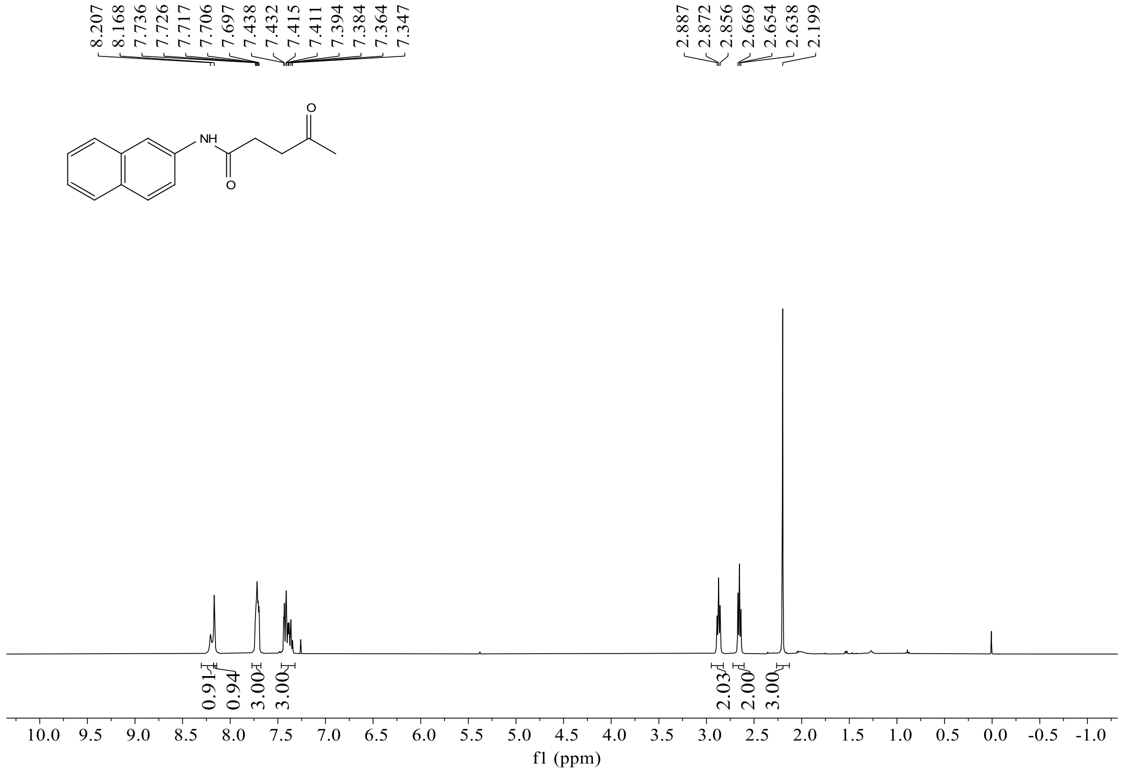
^{1}H NMR (400 MHz, CDCl$_3$) spectrum of 3t



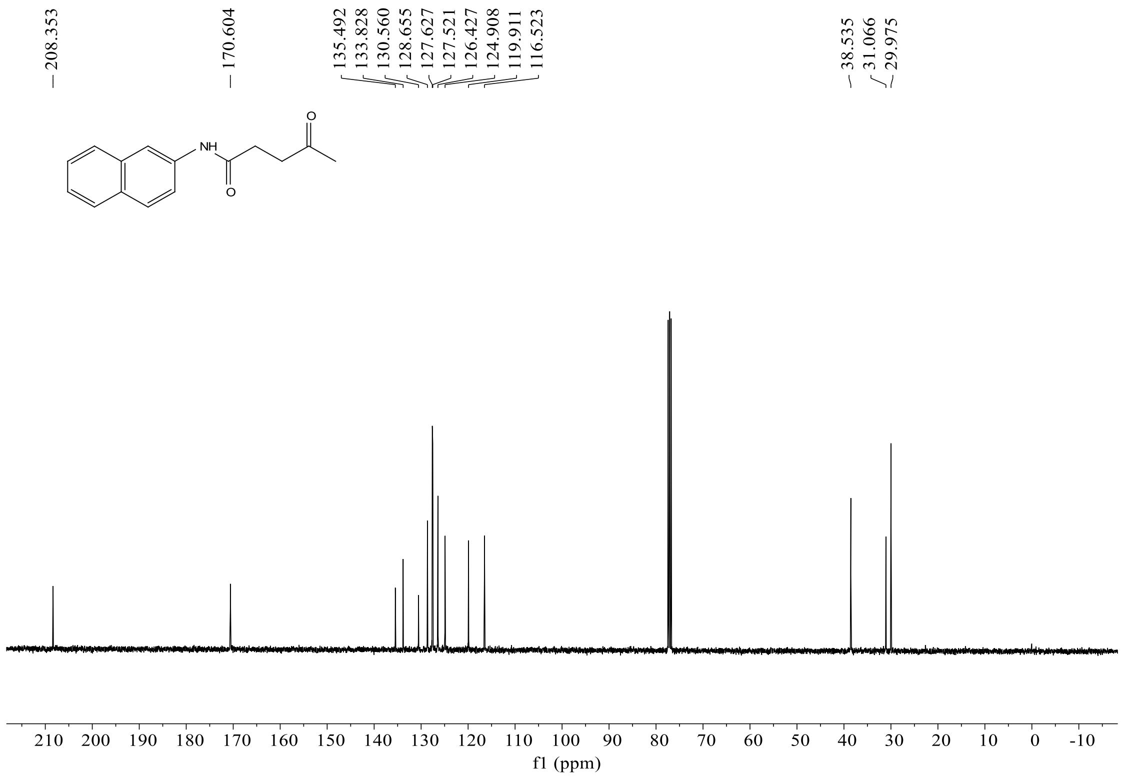
^{13}C NMR (100 MHz, CDCl$_3$) spectrum of 3t



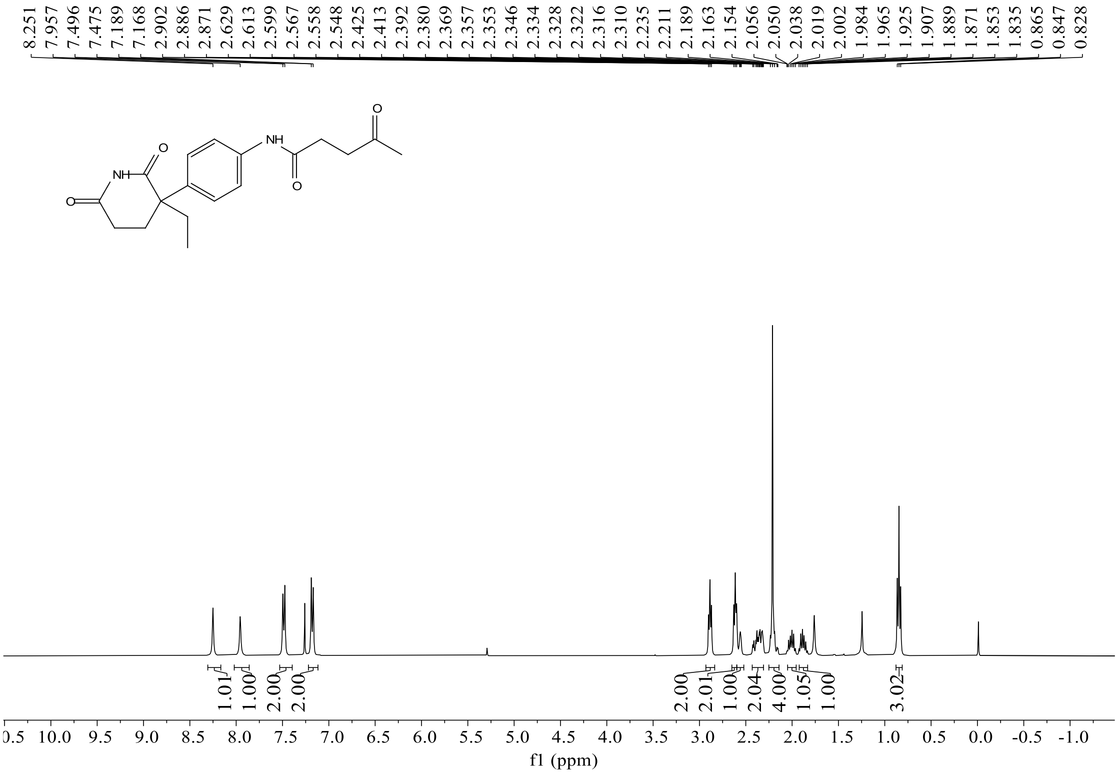
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3u



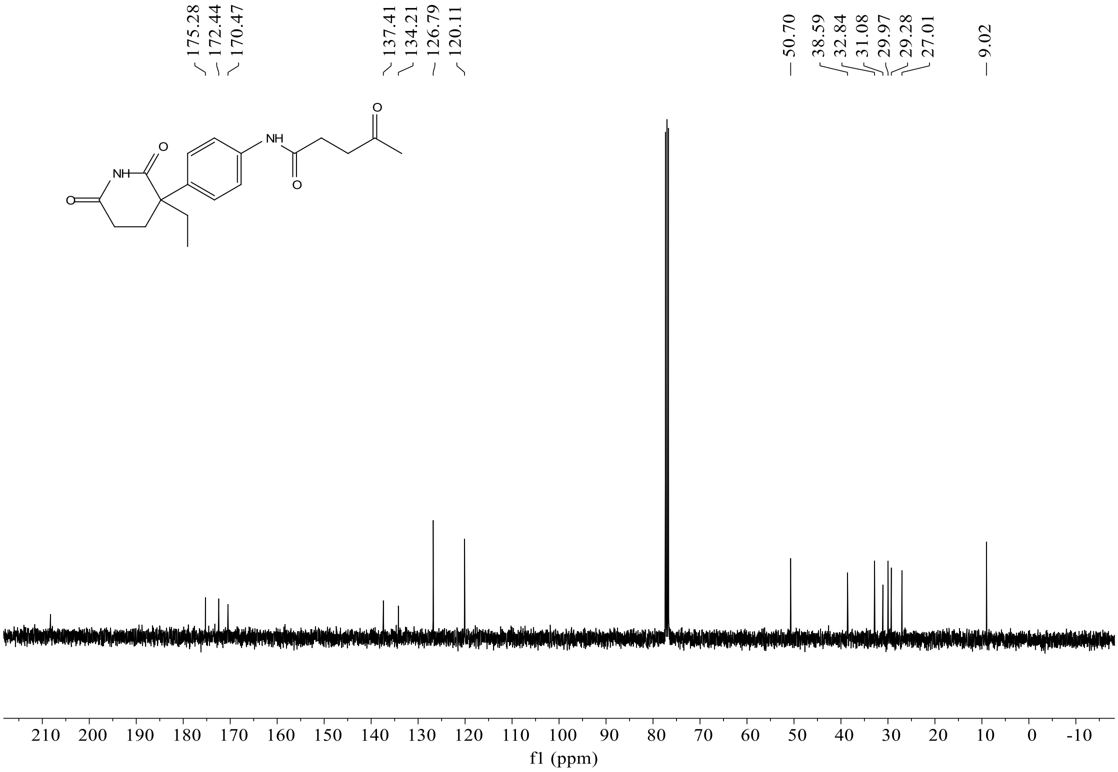
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3u



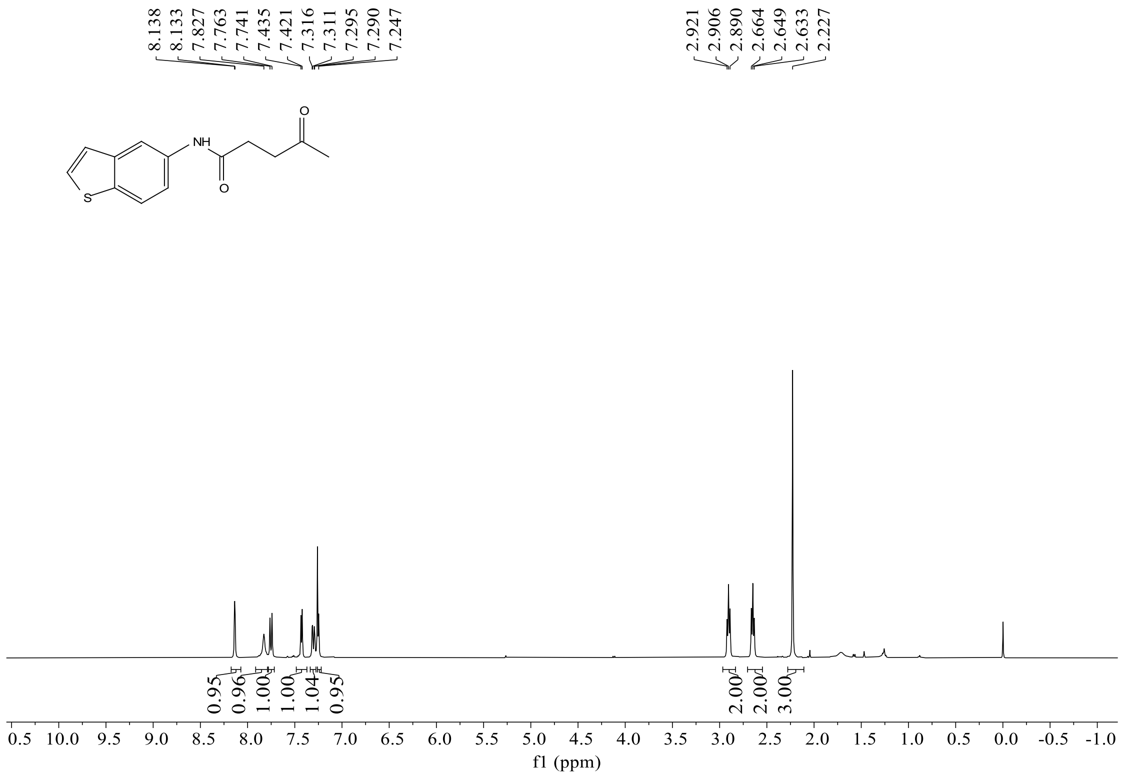
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3v



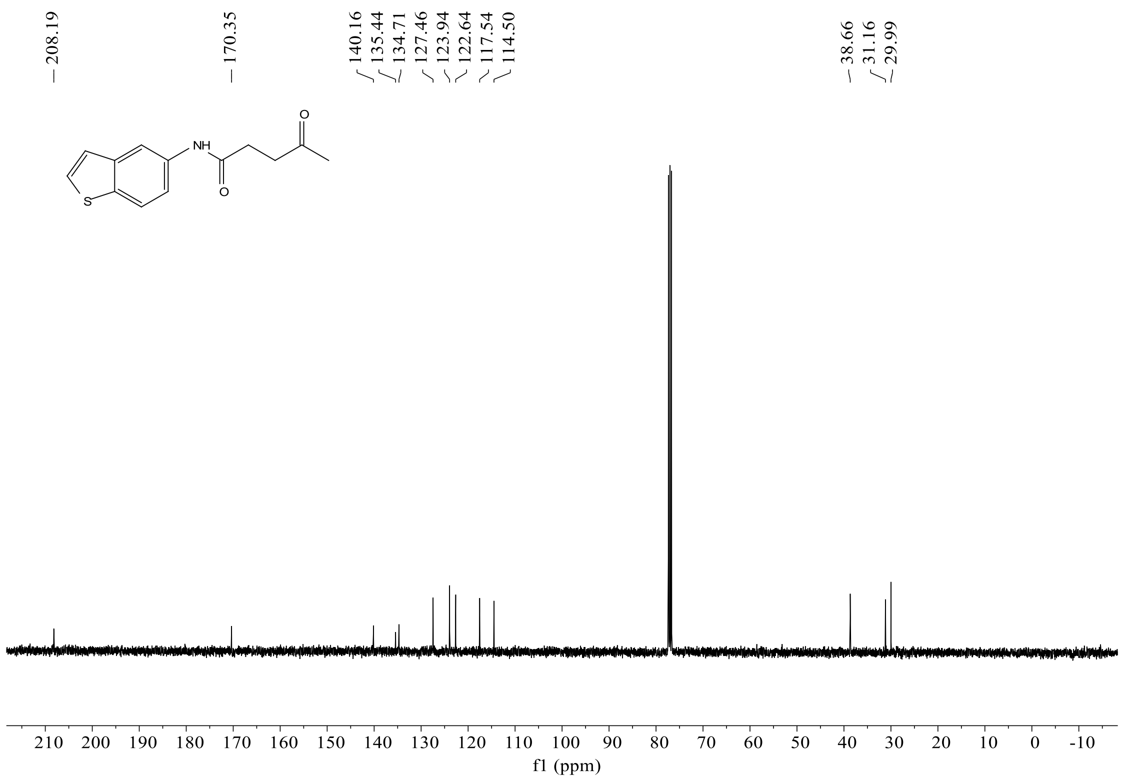
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3v



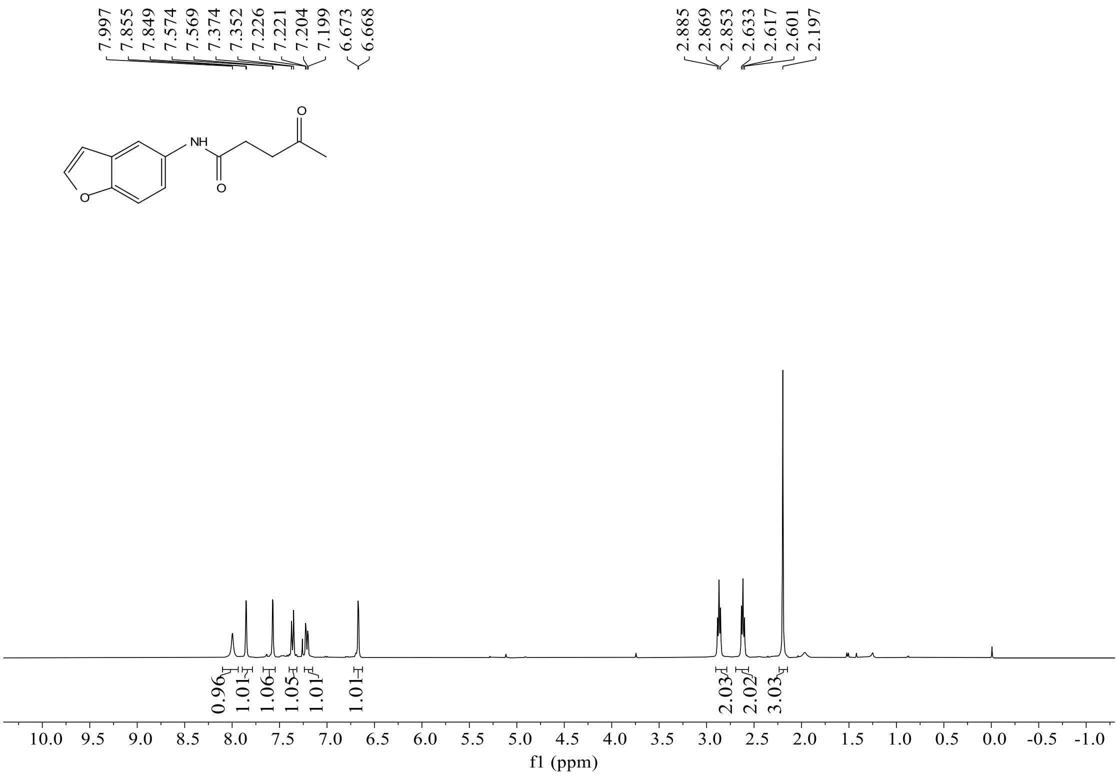
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3w



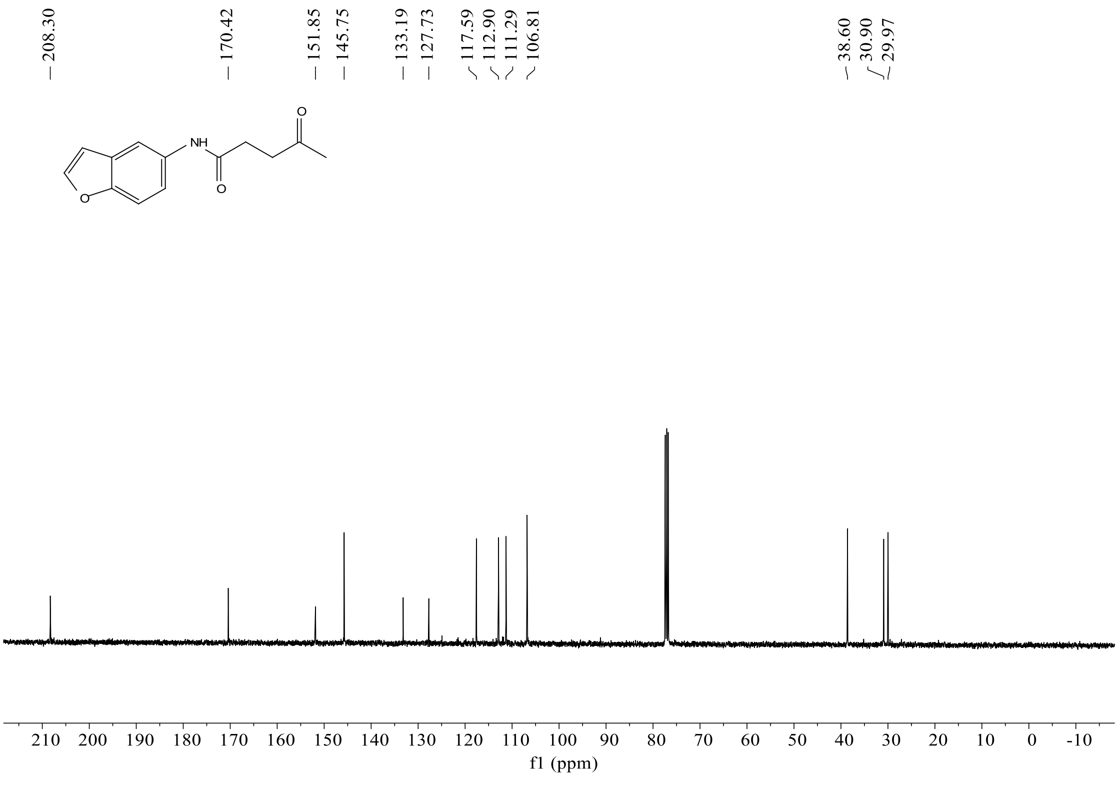
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3w



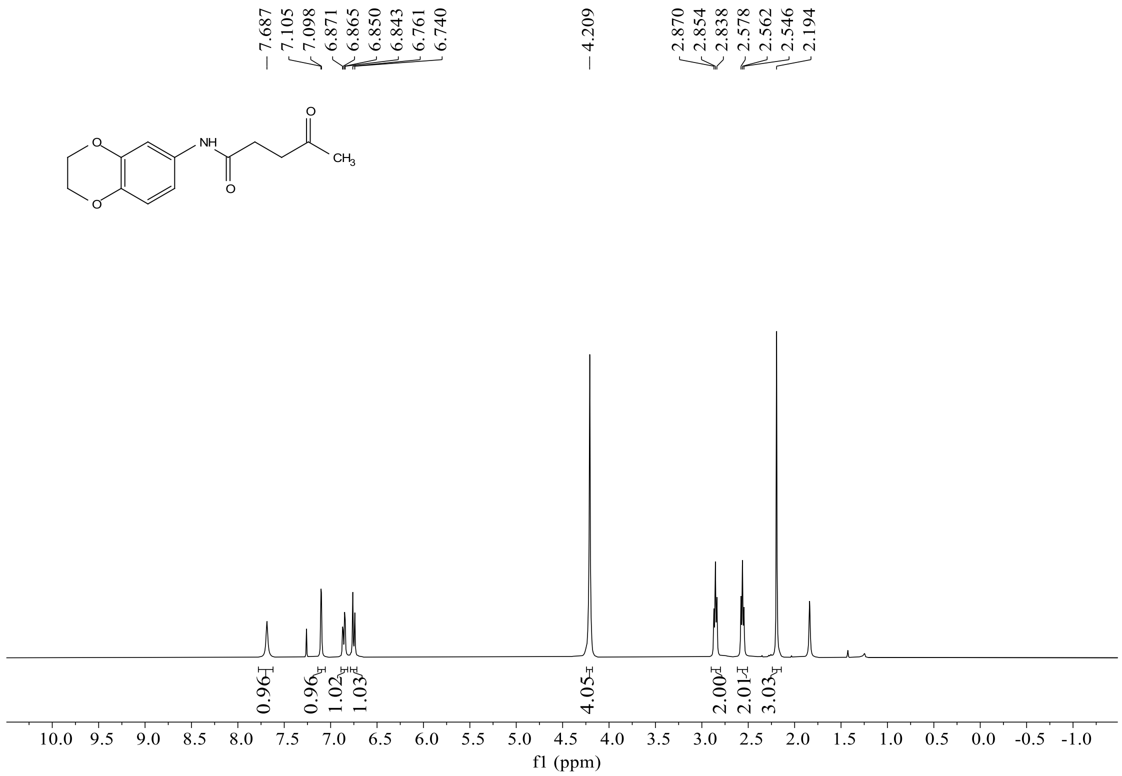
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3x



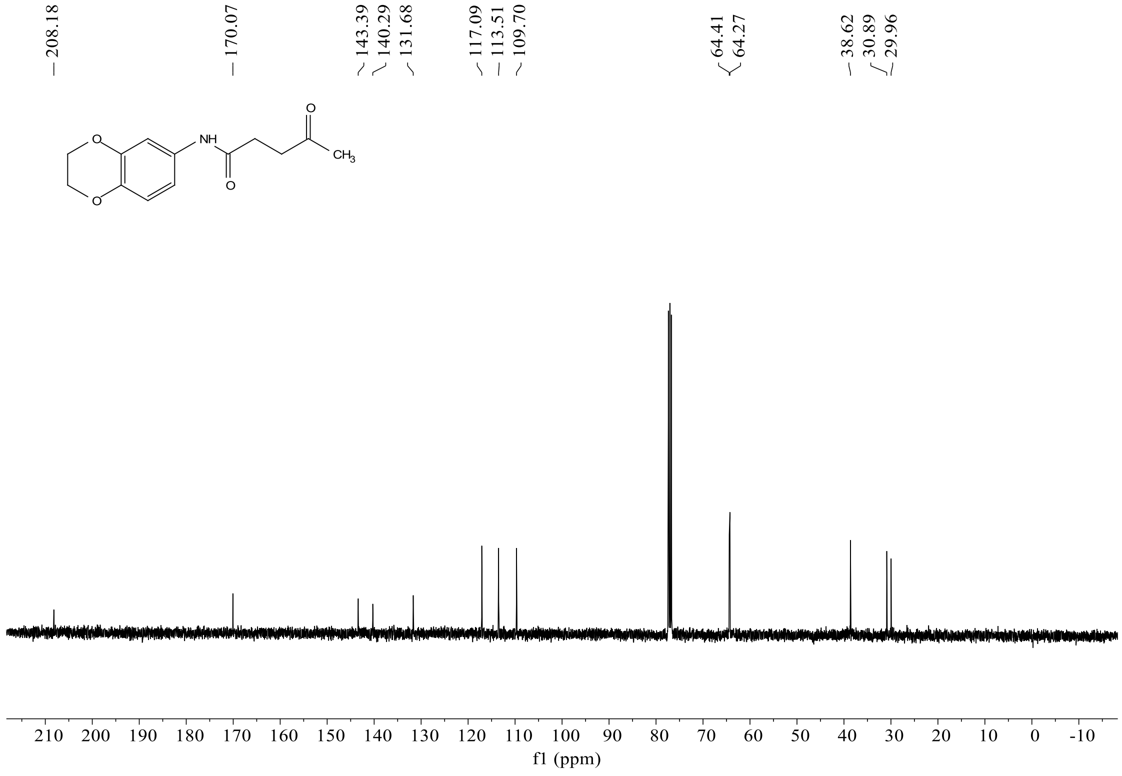
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3x



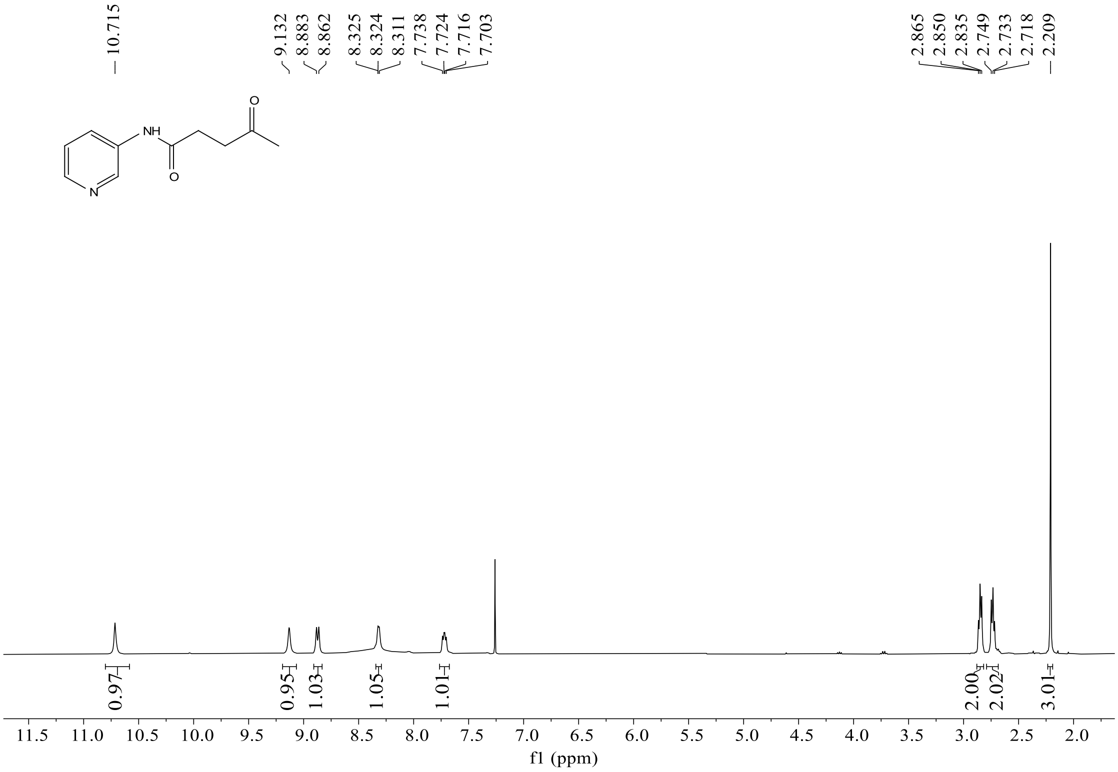
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3y



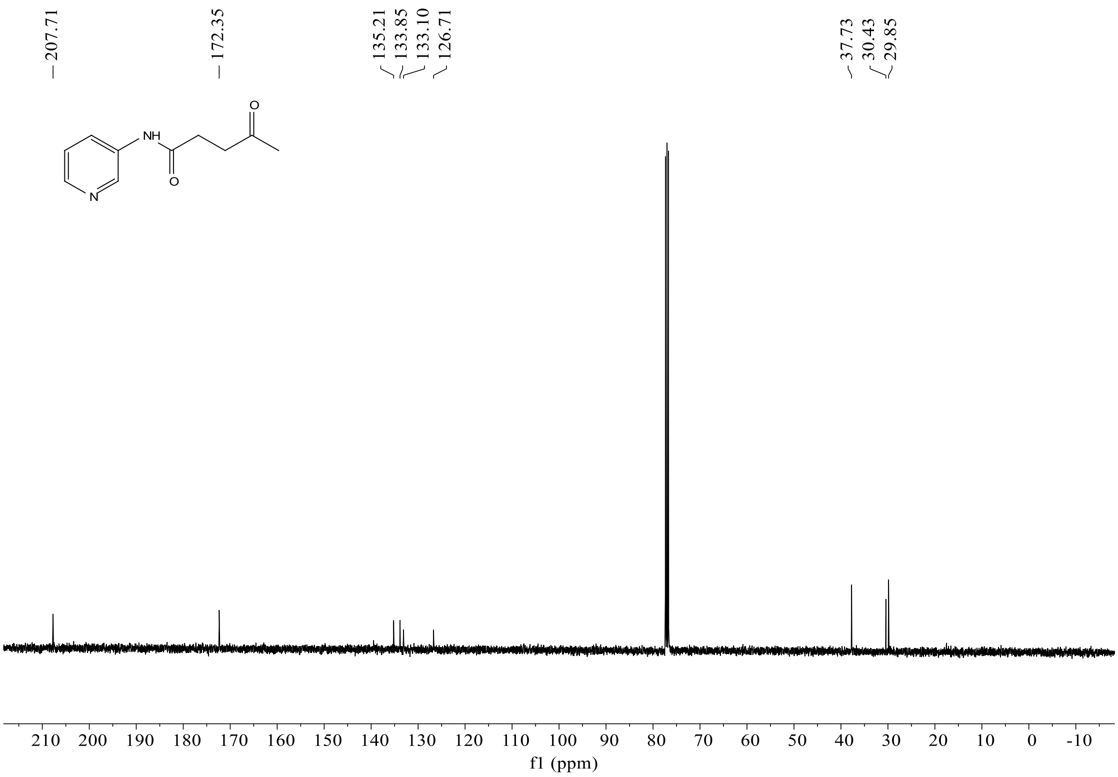
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3y



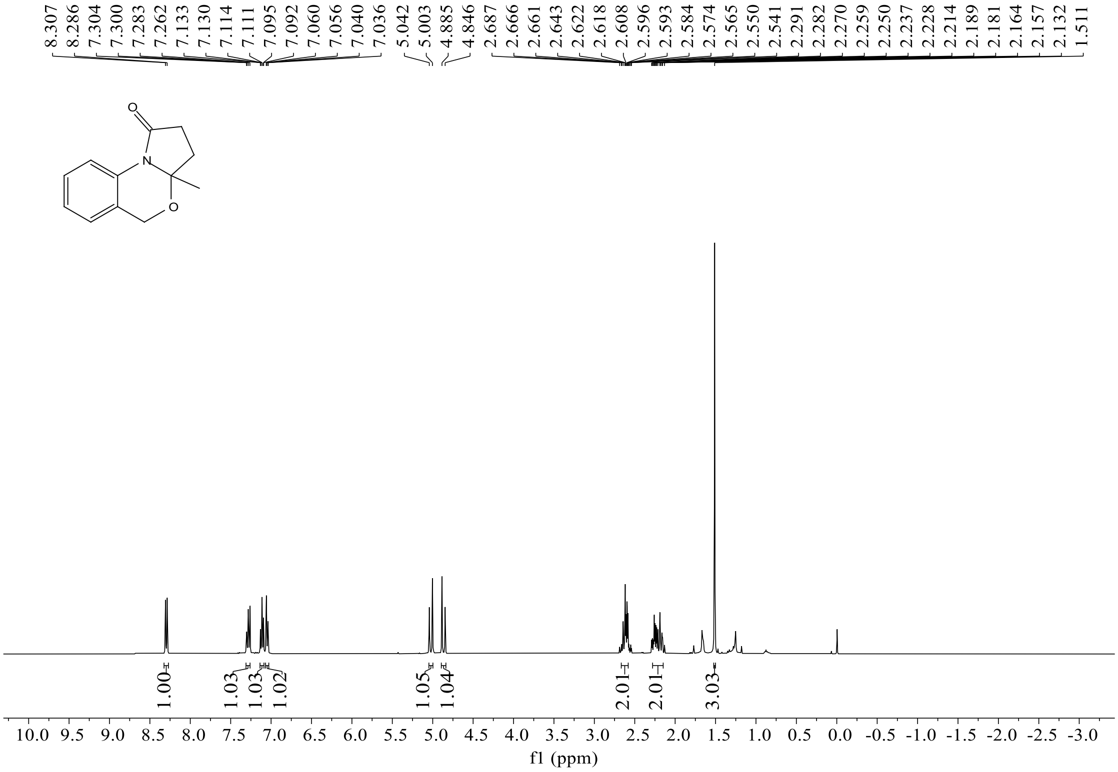
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 3z



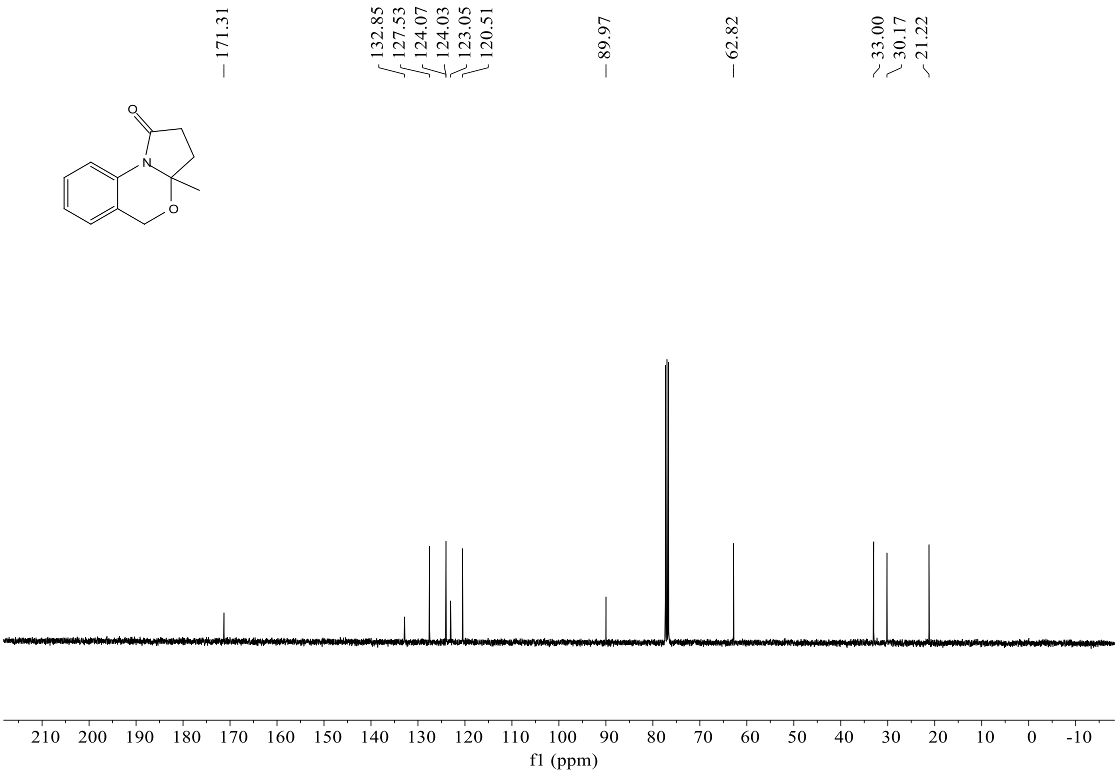
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 3z



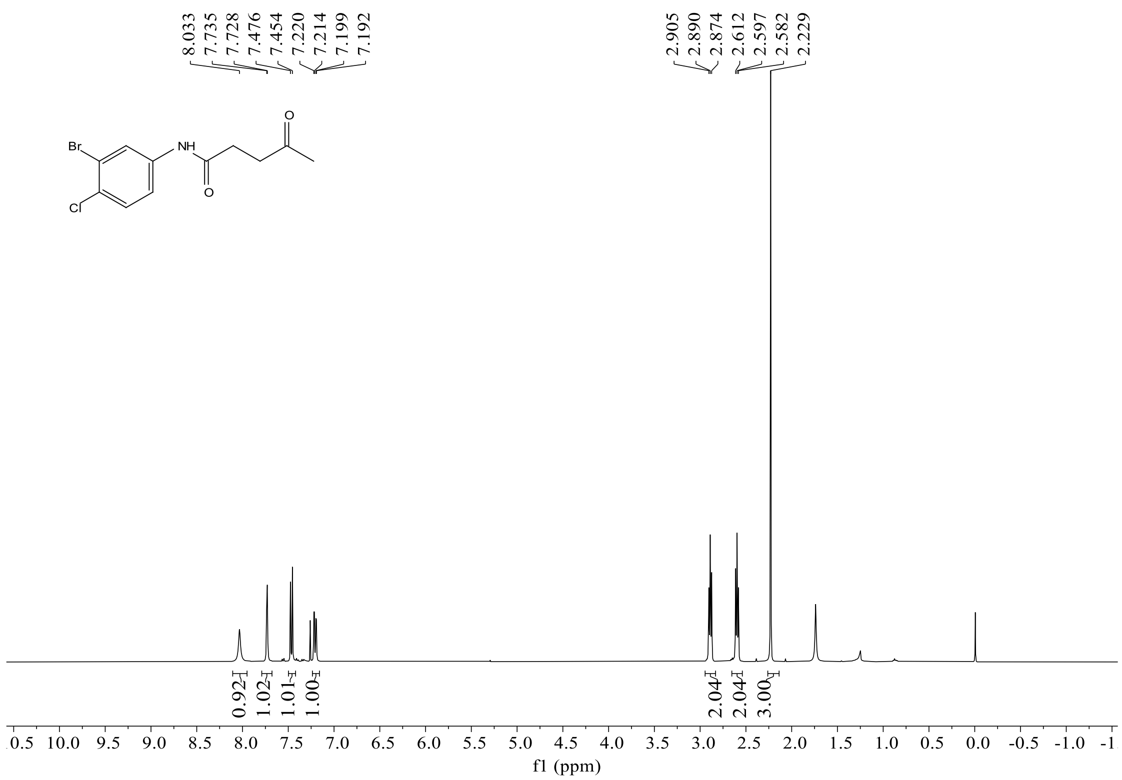
[1]H NMR (400 MHz, CDCl$_3$) spectrum of 3aa



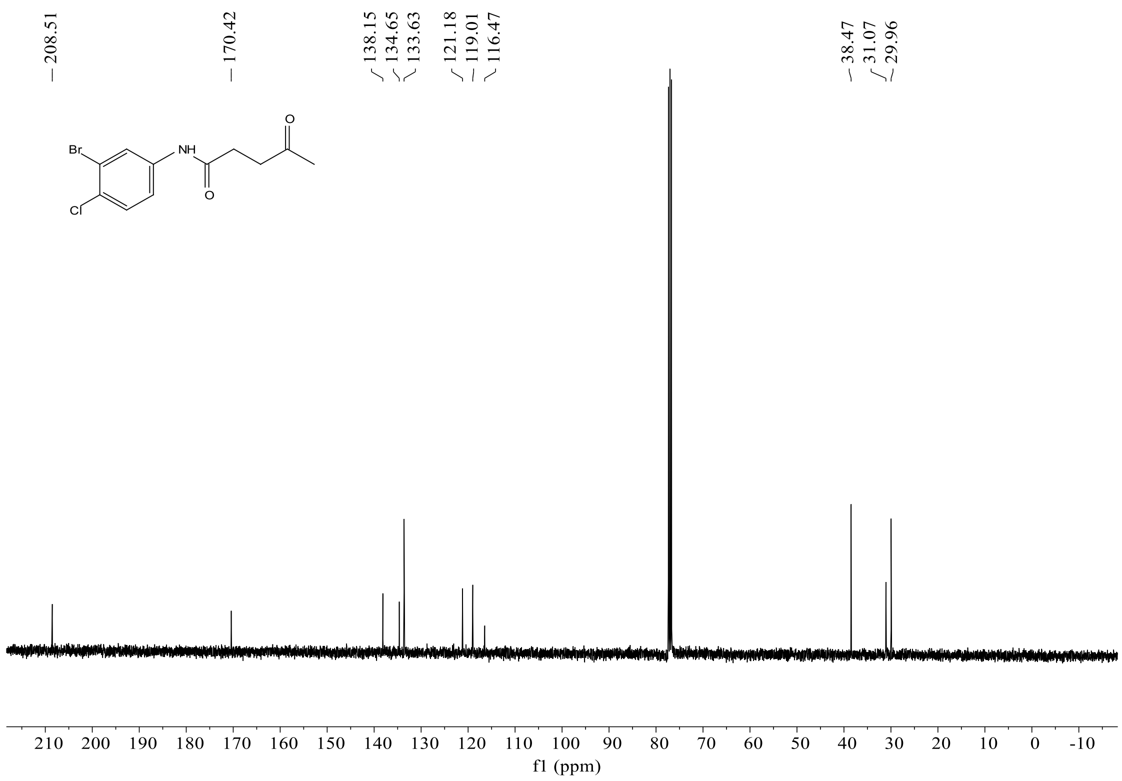
[13]C NMR (100 MHz, CDCl$_3$) spectrum of 3aa



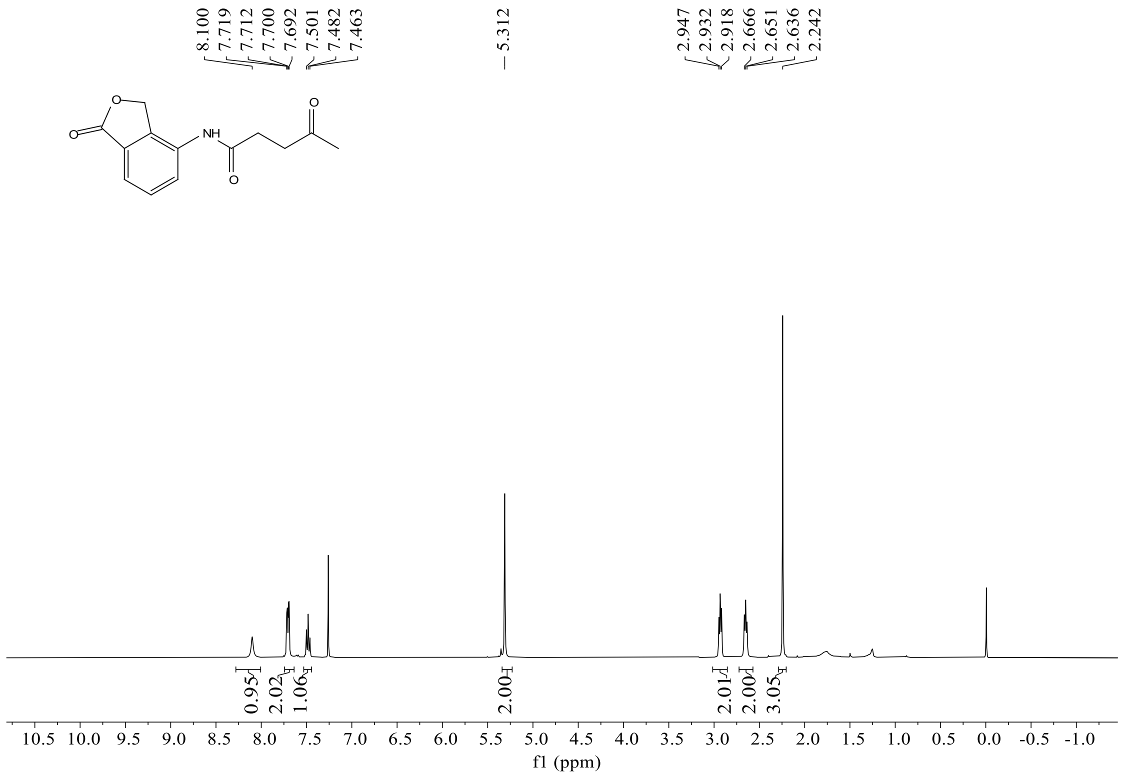
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3ab



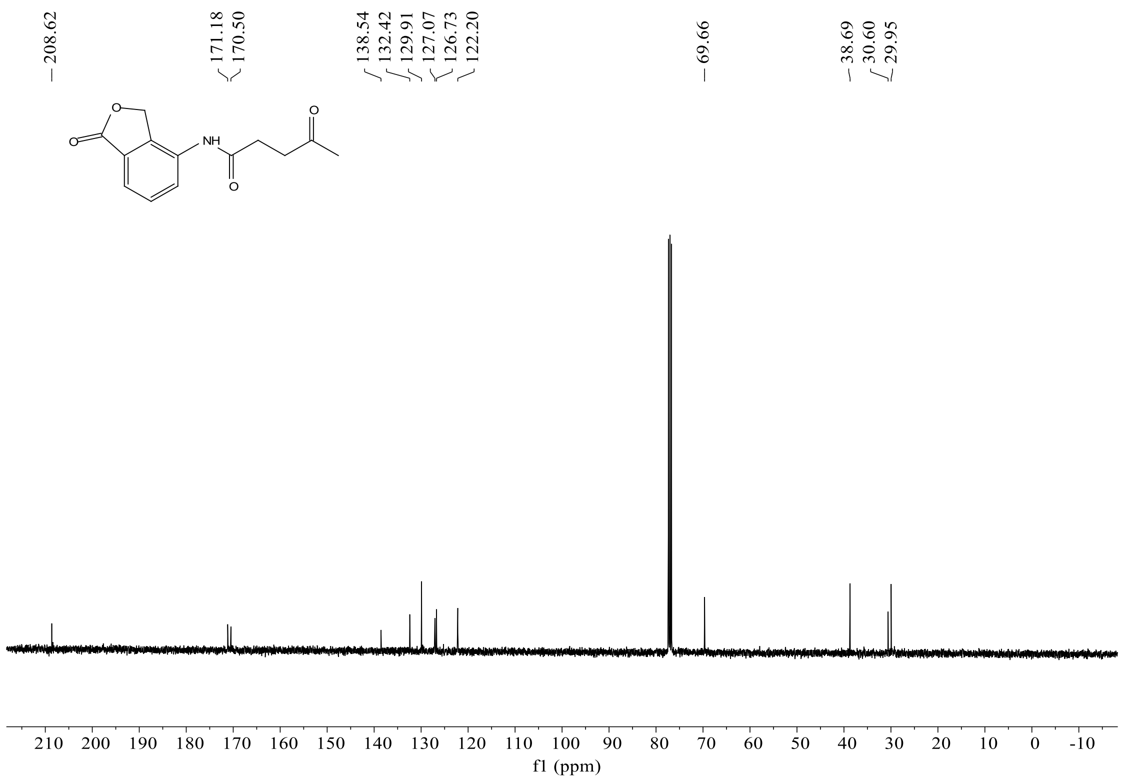
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3ab



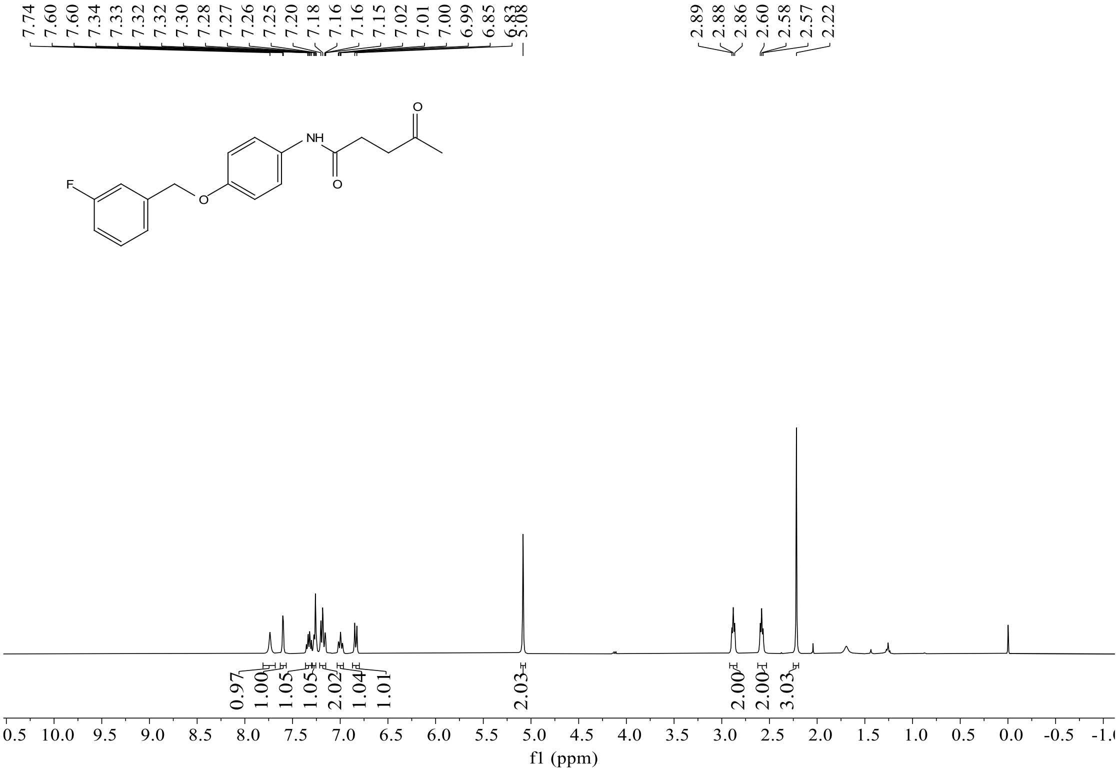
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3ac



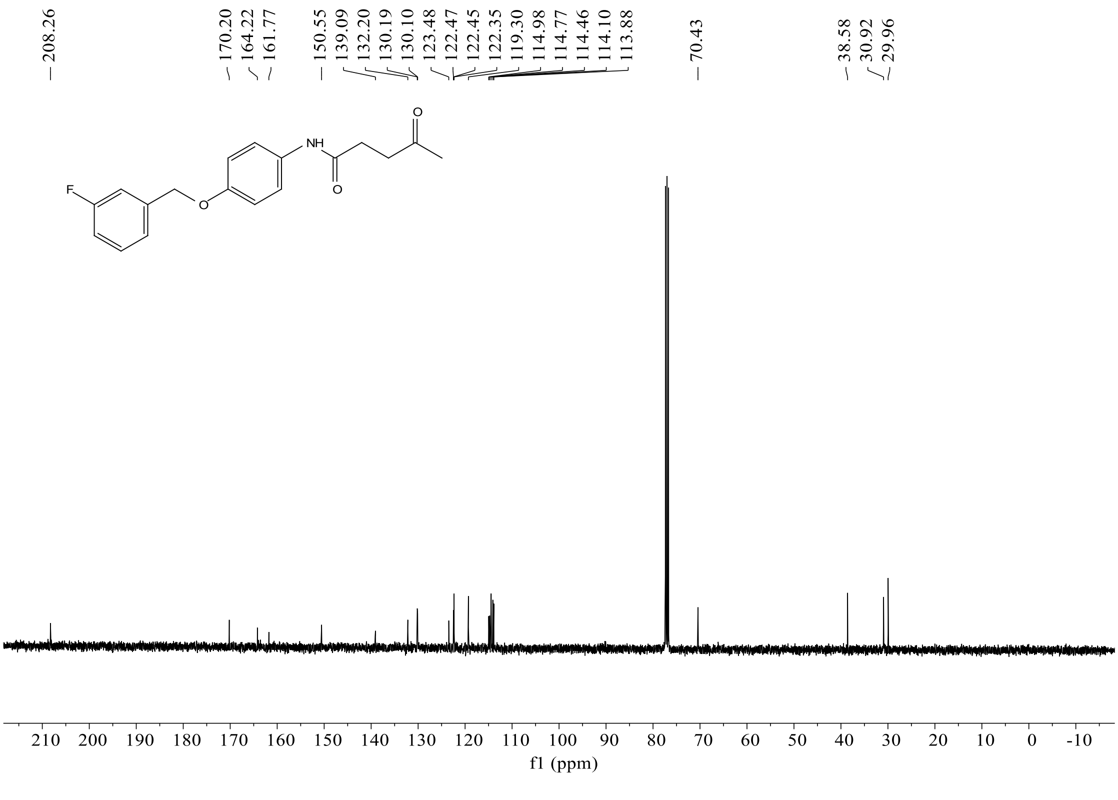
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3ac



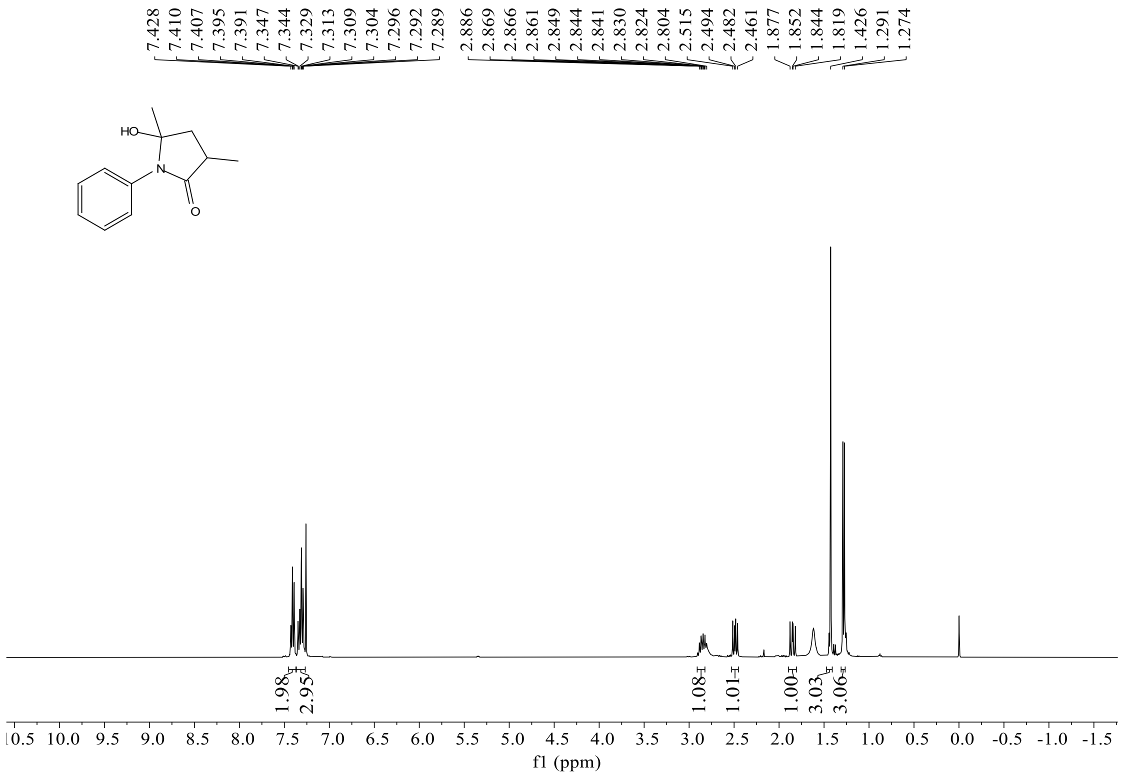
[1]H NMR (400 MHz, CDCl3) spectrum of 3ad



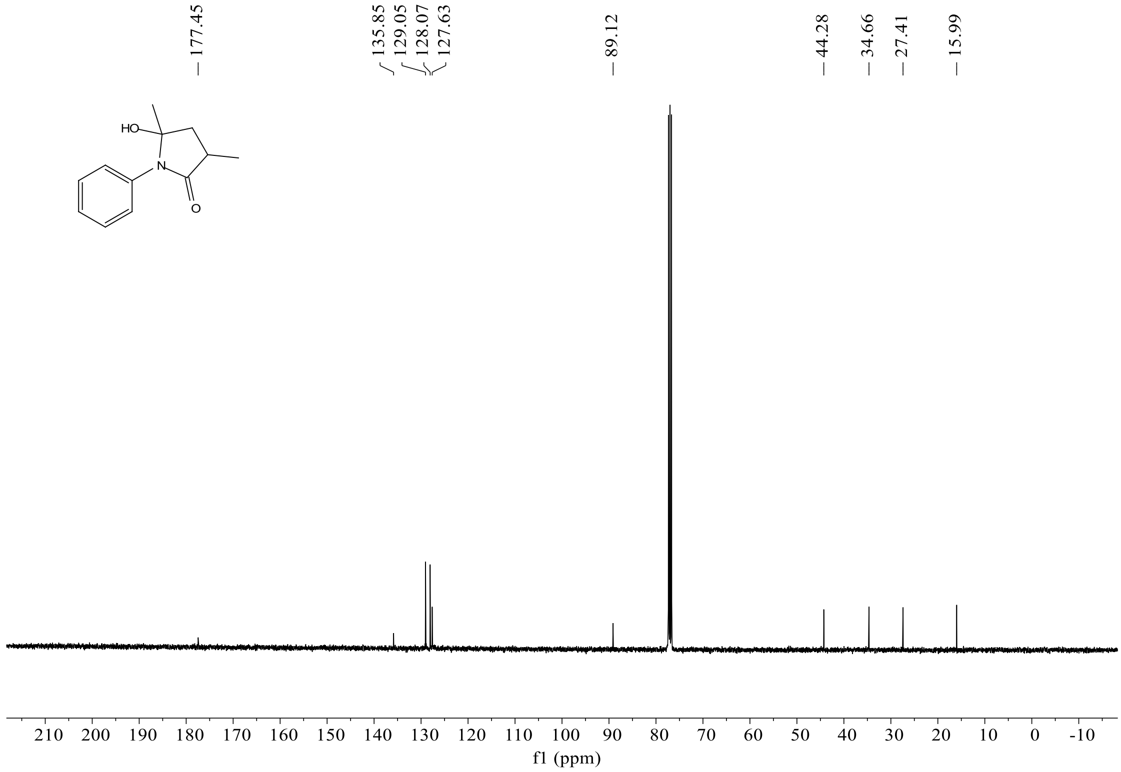
[13]C NMR (100 MHz, CDCl3) spectrum of 3ad



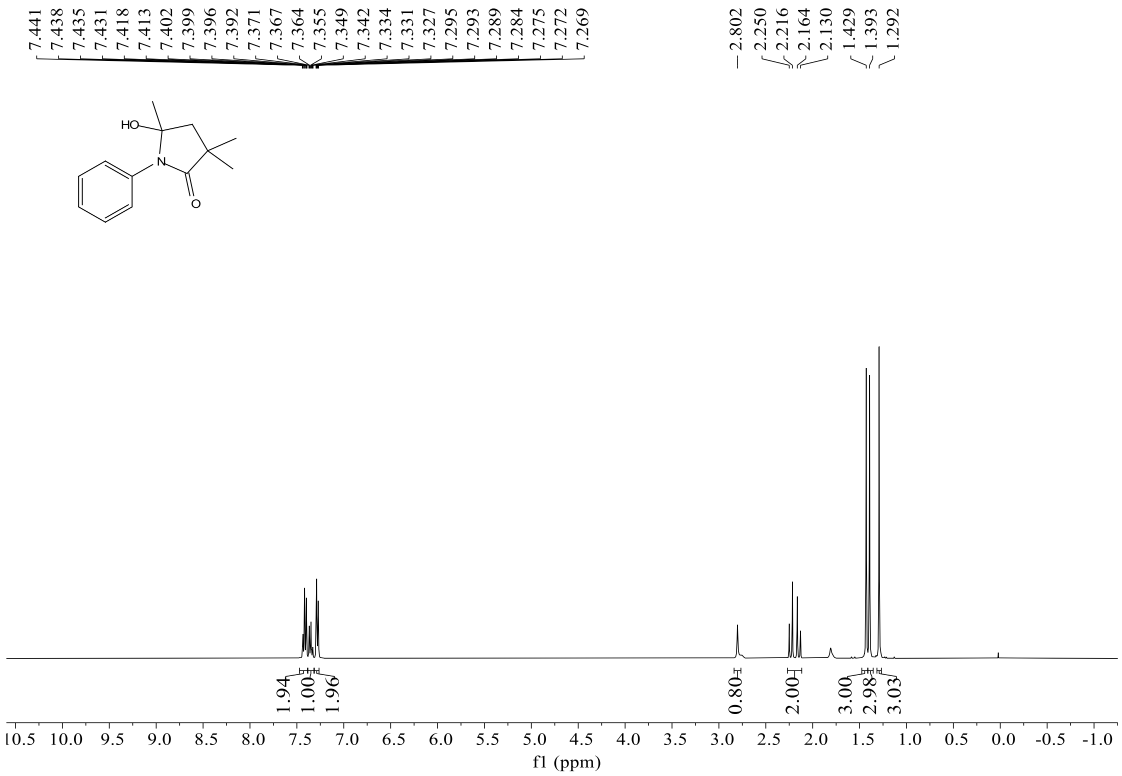
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3ba



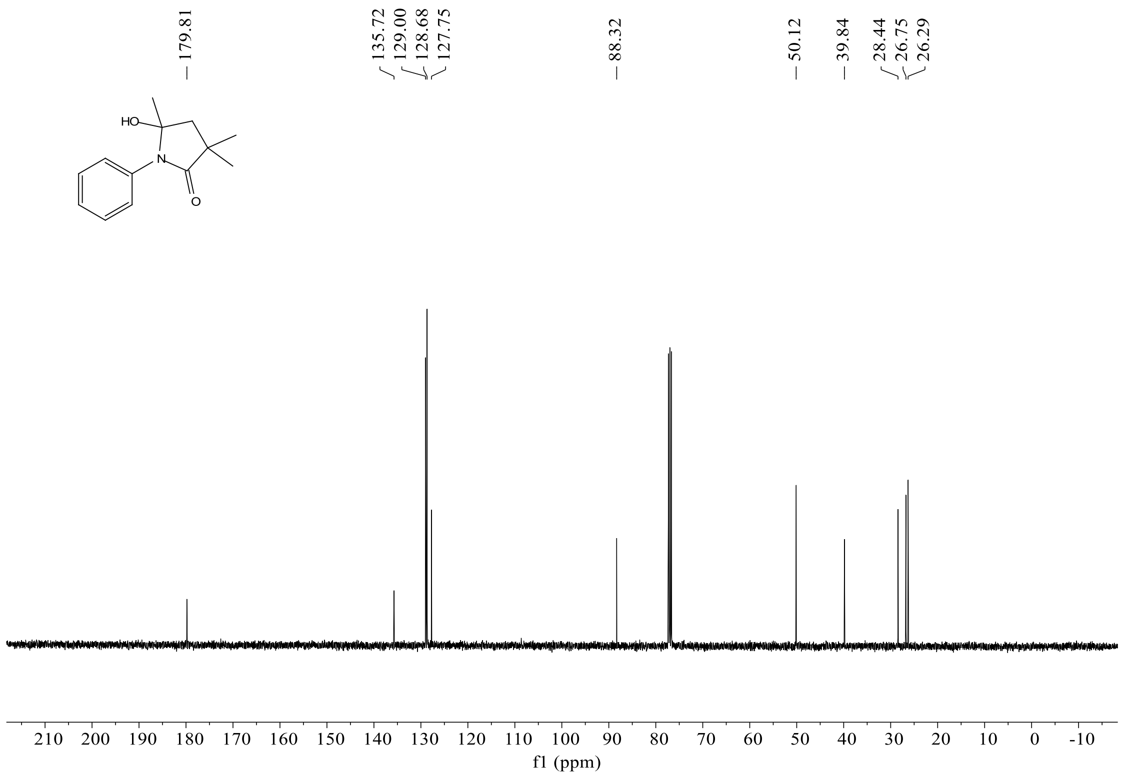
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3ba



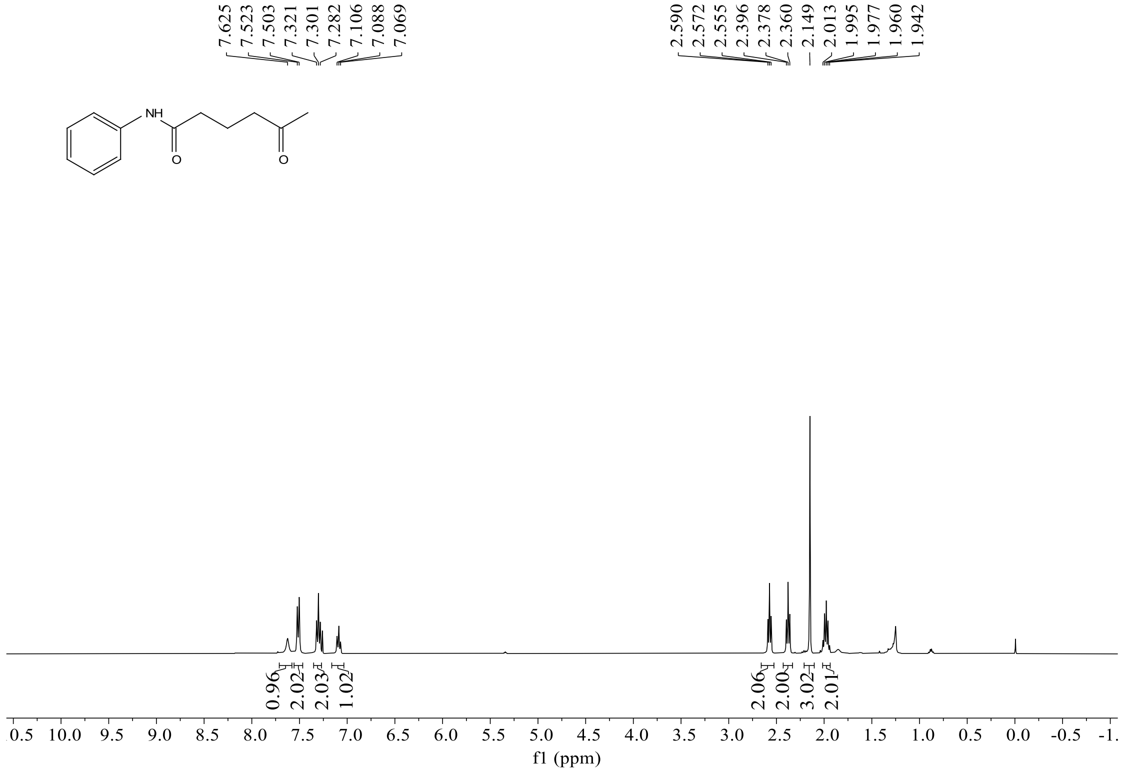
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3ca



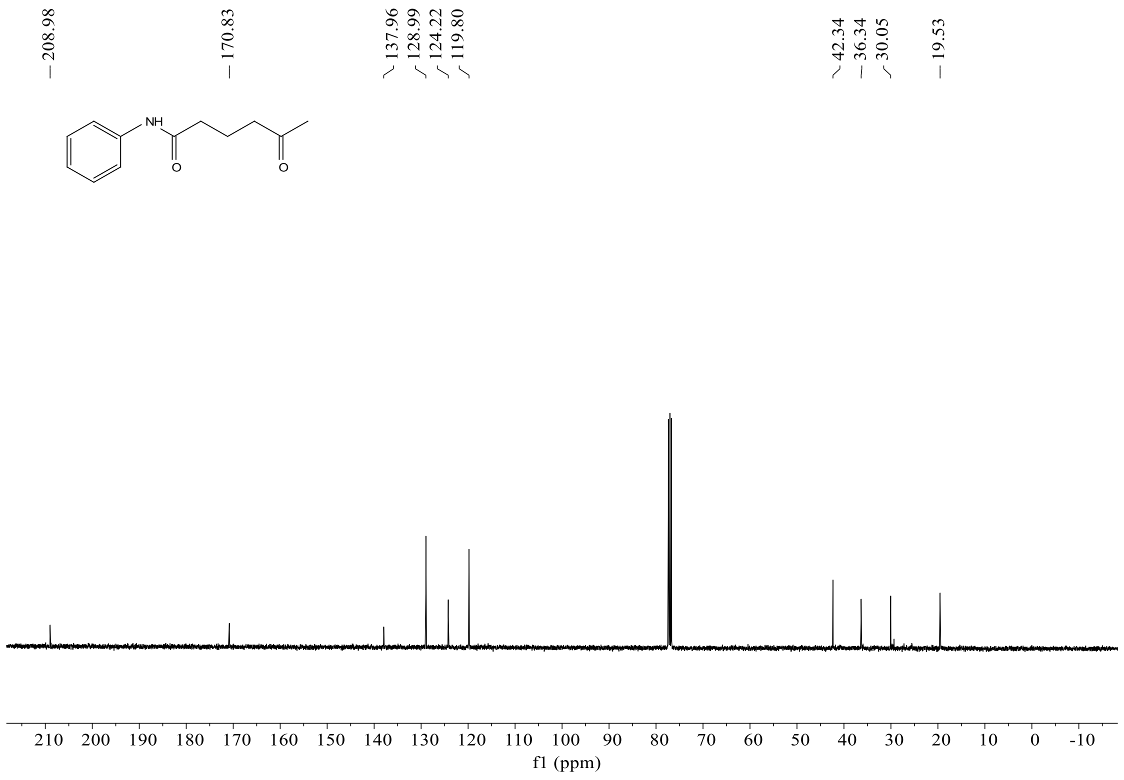
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3ca



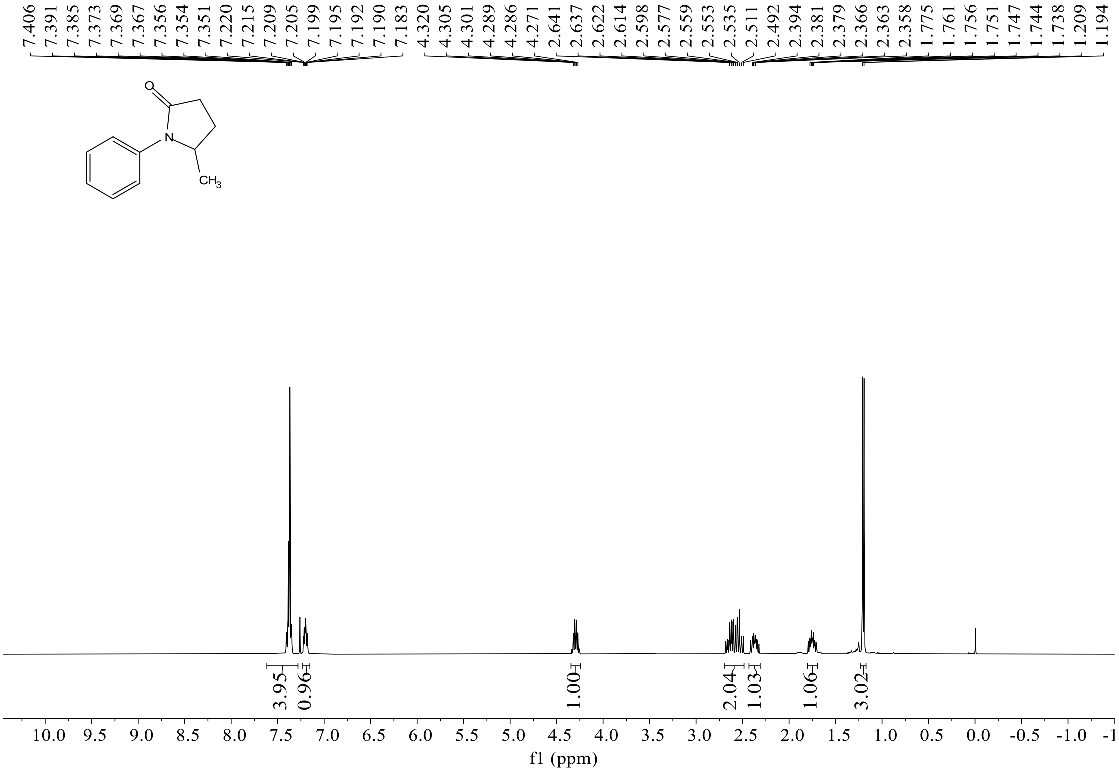
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 3ea



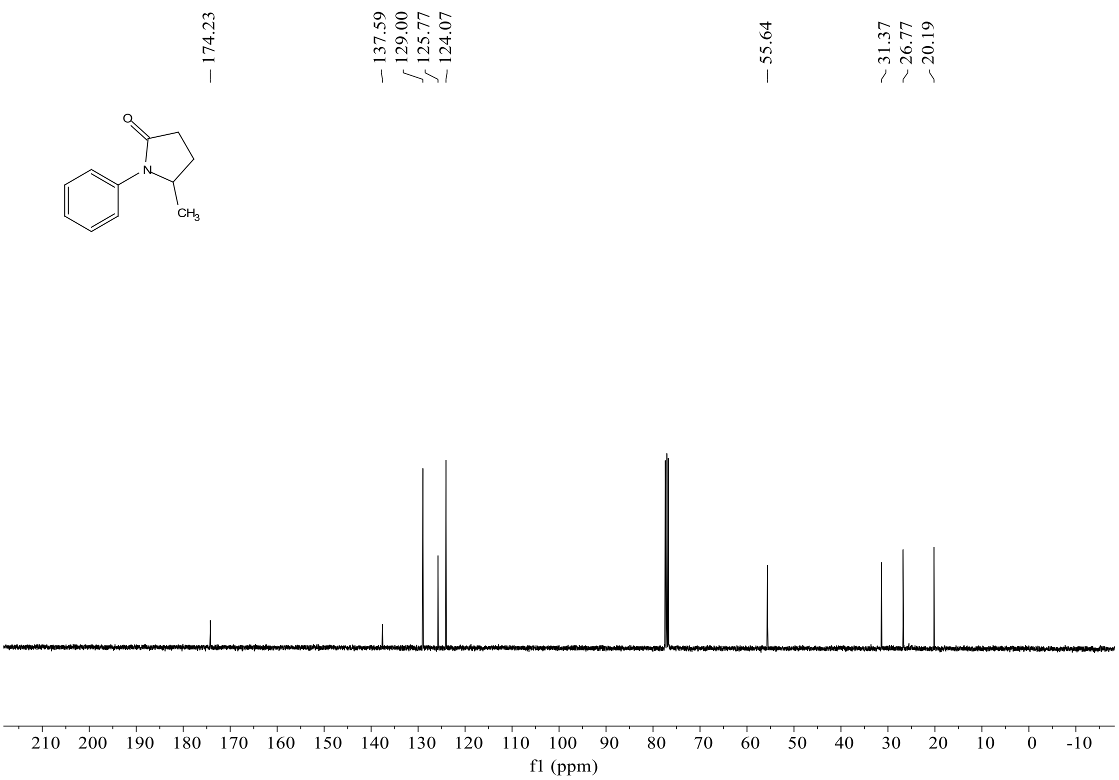
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 3ea



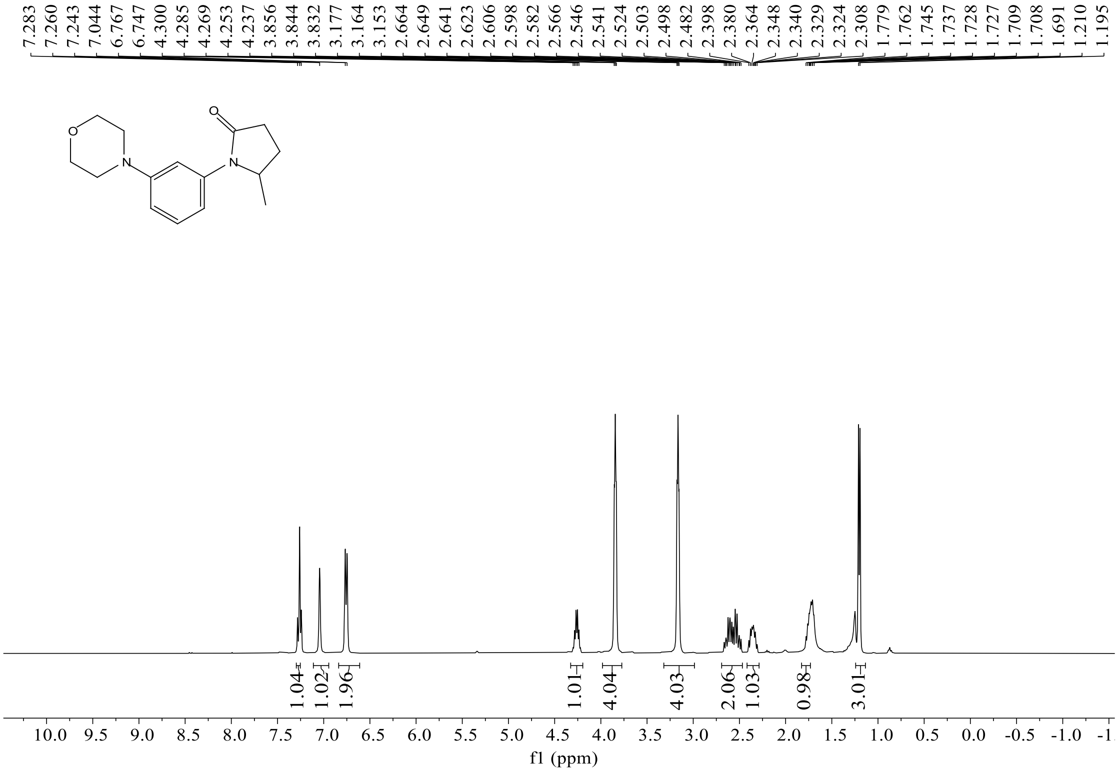
^{1}H NMR (400 MHz, CDCl$_3$) spectrum of 4a



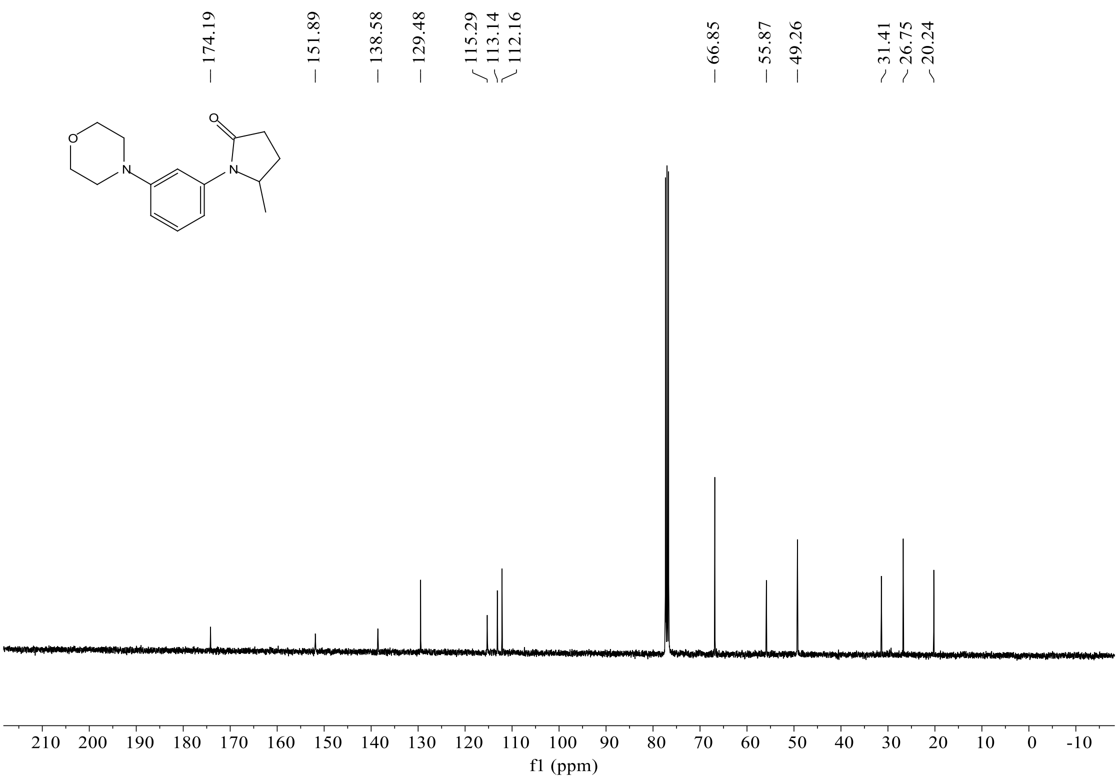
^{13}C NMR (100 MHz, CDCl$_3$) spectrum of 4a



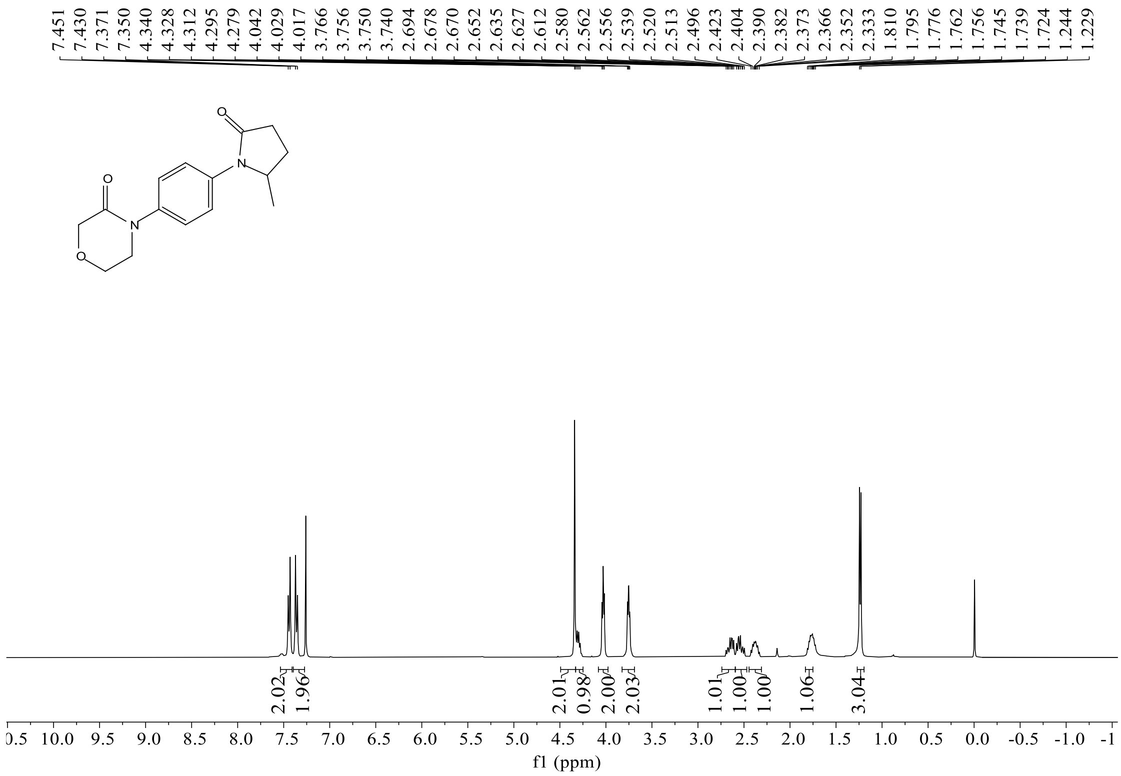
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 4b



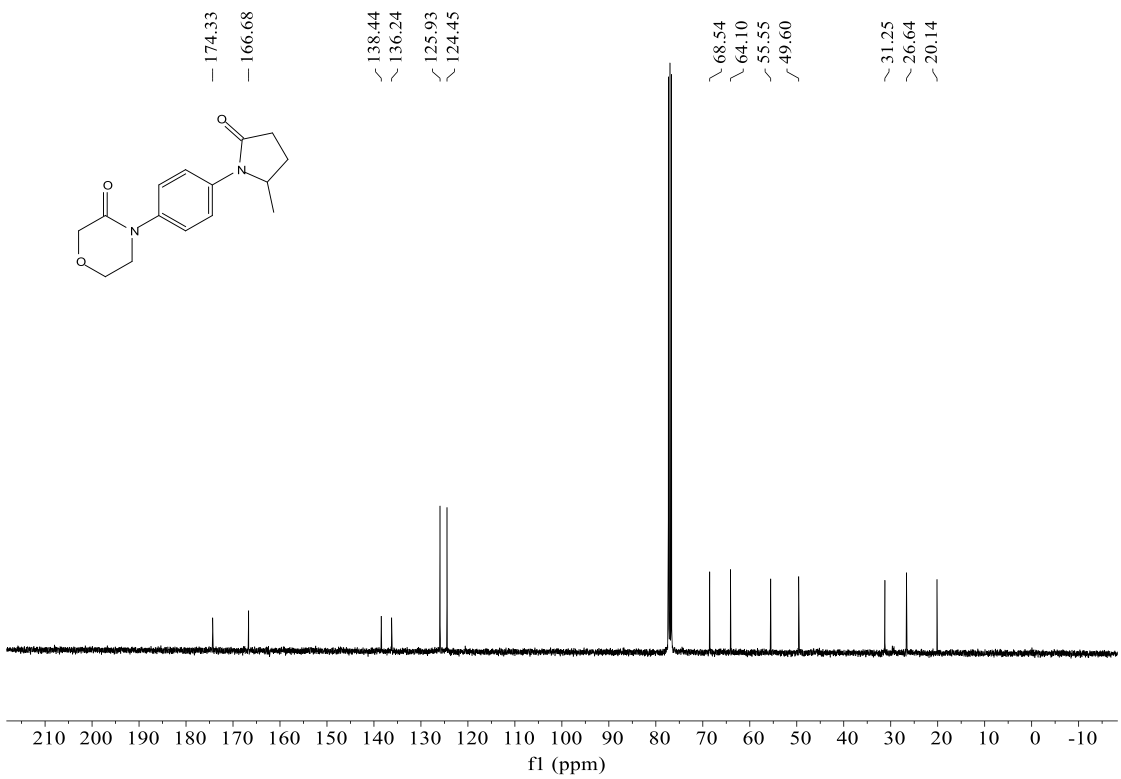
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 4b



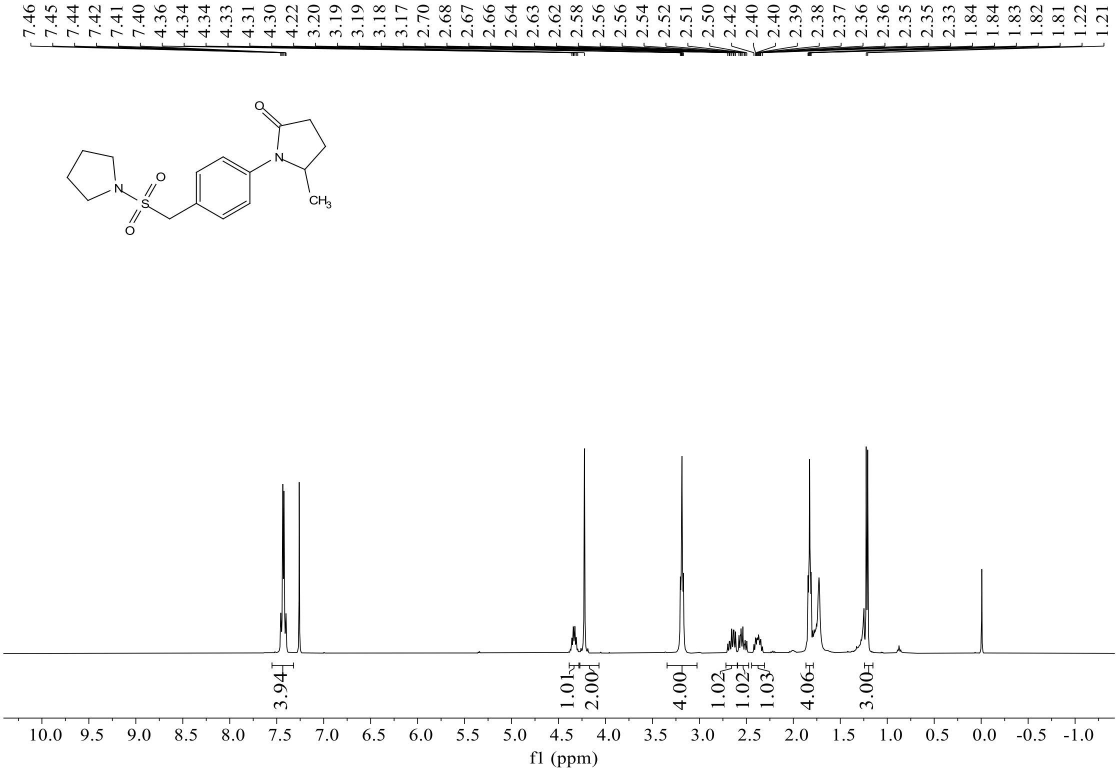
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 4c



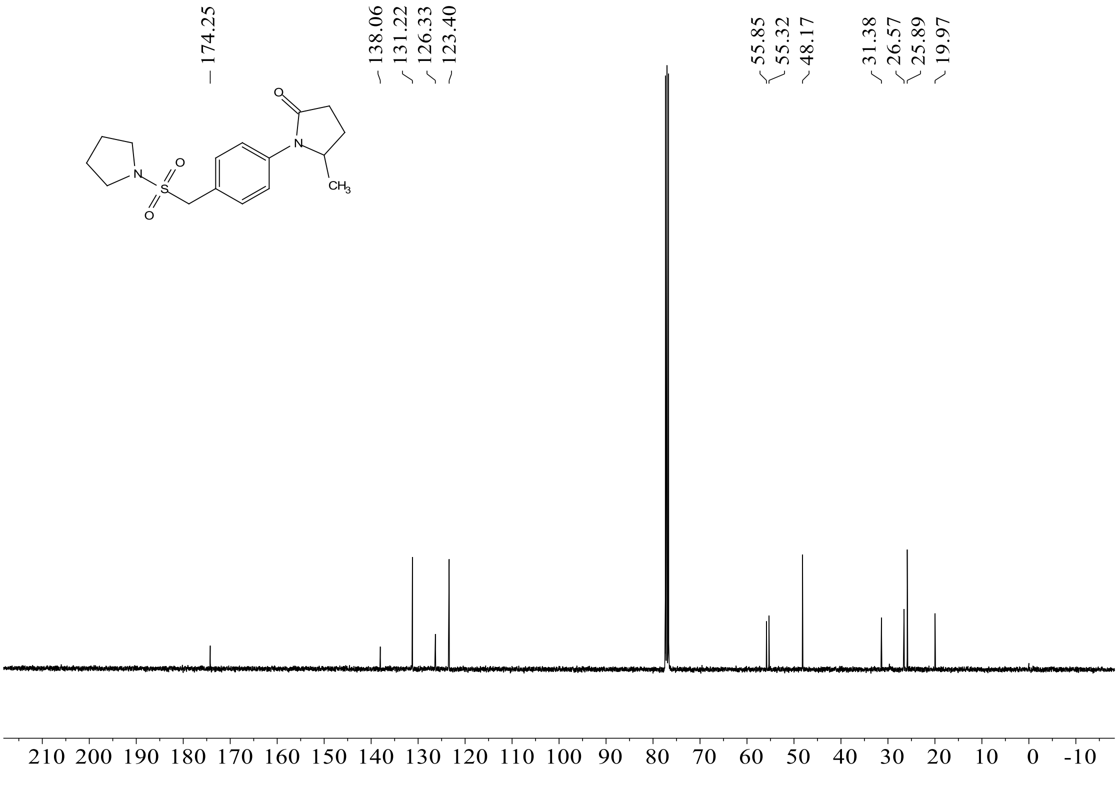
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 4c



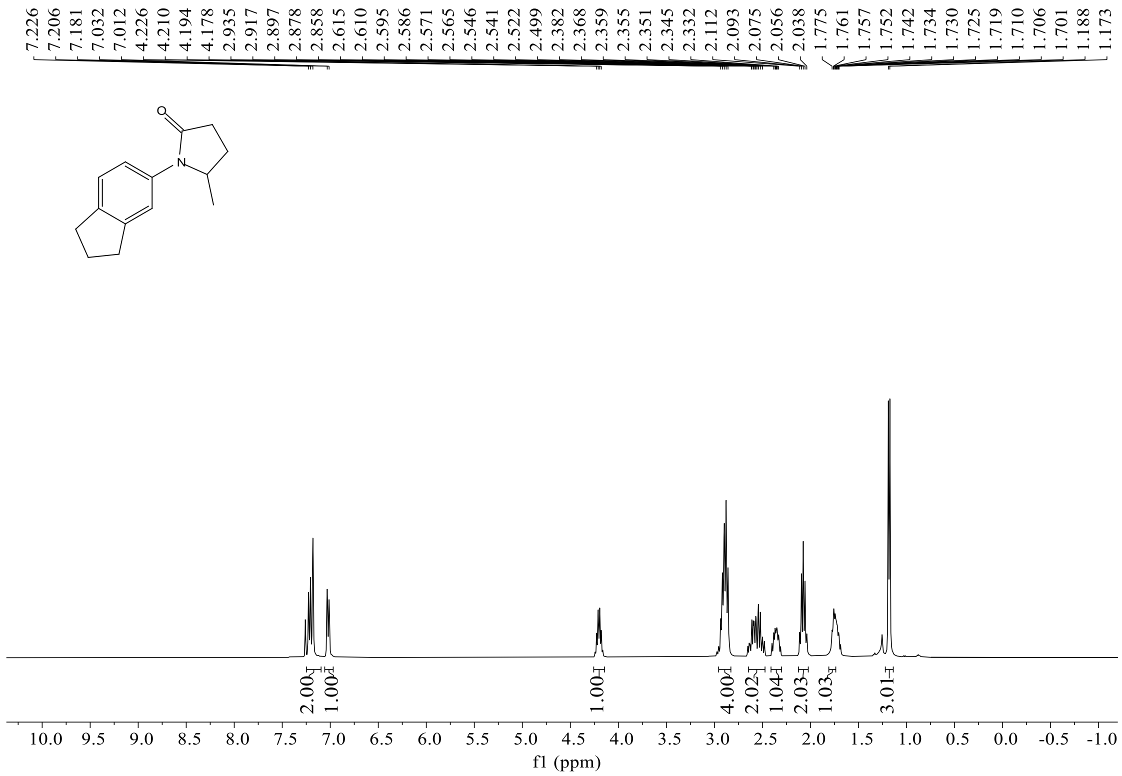
[1]H NMR (400 MHz, CDCl$_3$) spectrum of 4d



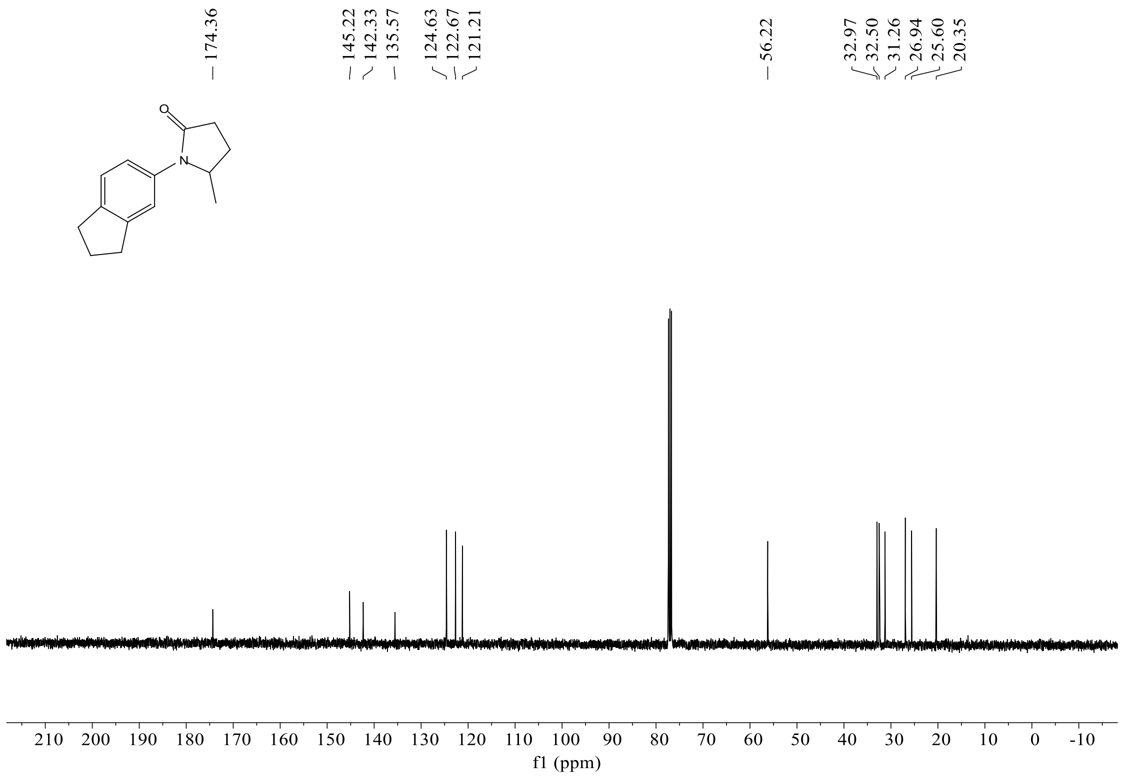
[13]C NMR (100 MHz, CDCl$_3$) spectrum of 4d



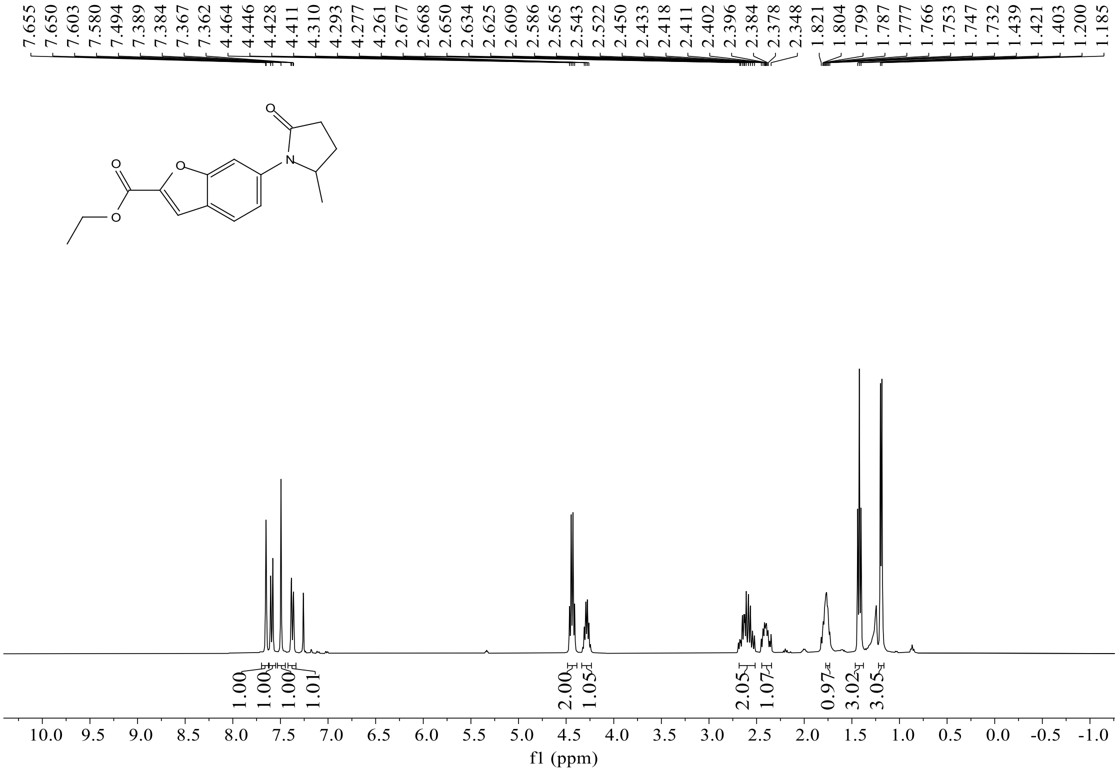
^{1}H NMR (400 MHz, CDCl$_3$) spectrum of 4e



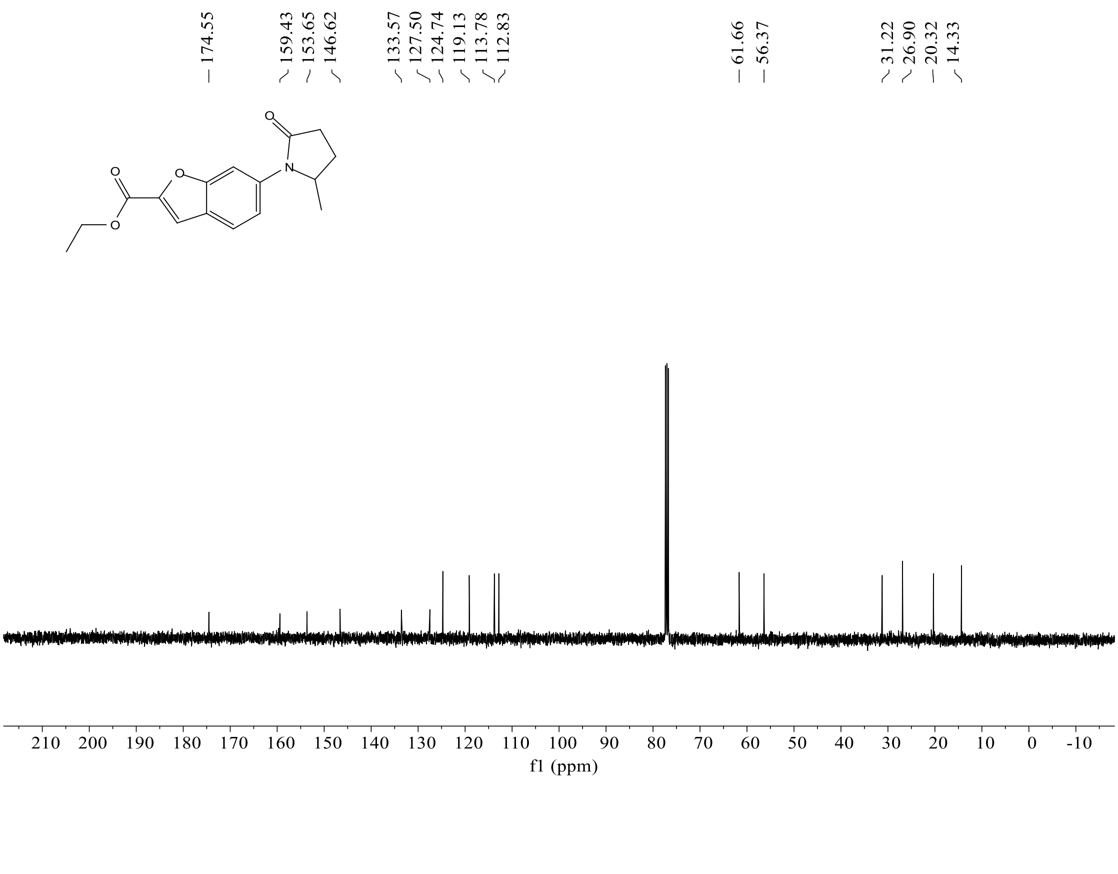
^{13}C NMR (100 MHz, CDCl$_3$) spectrum of 4e



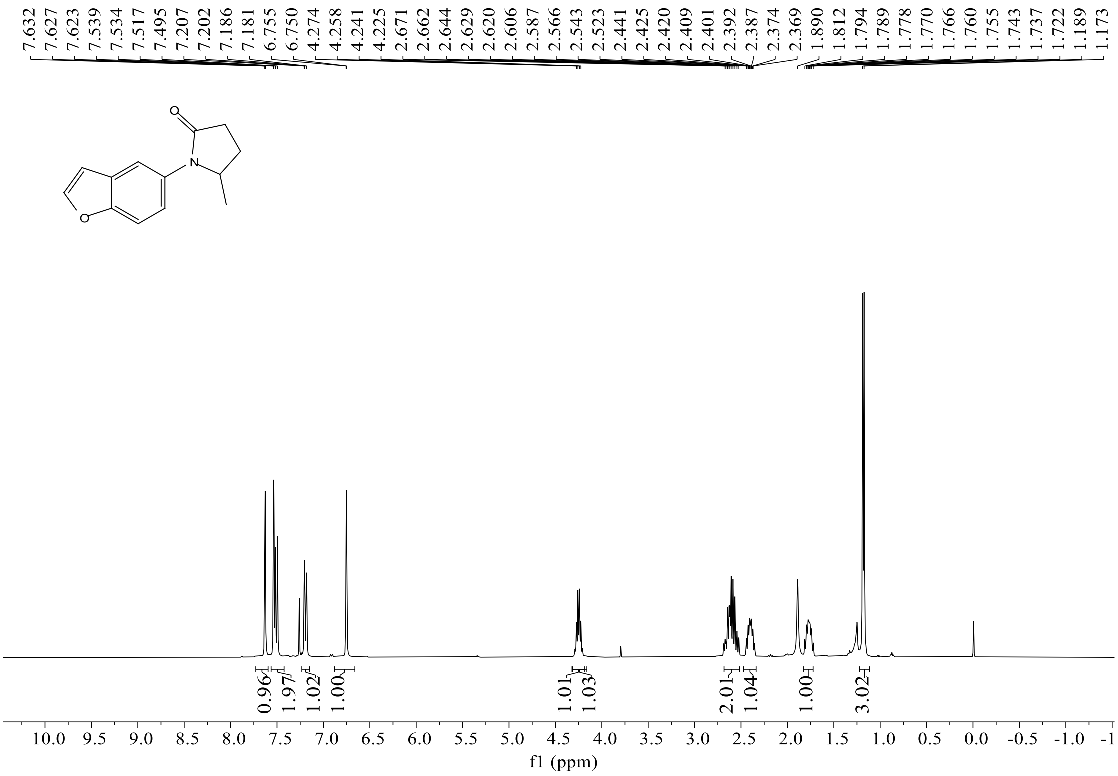
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 4f



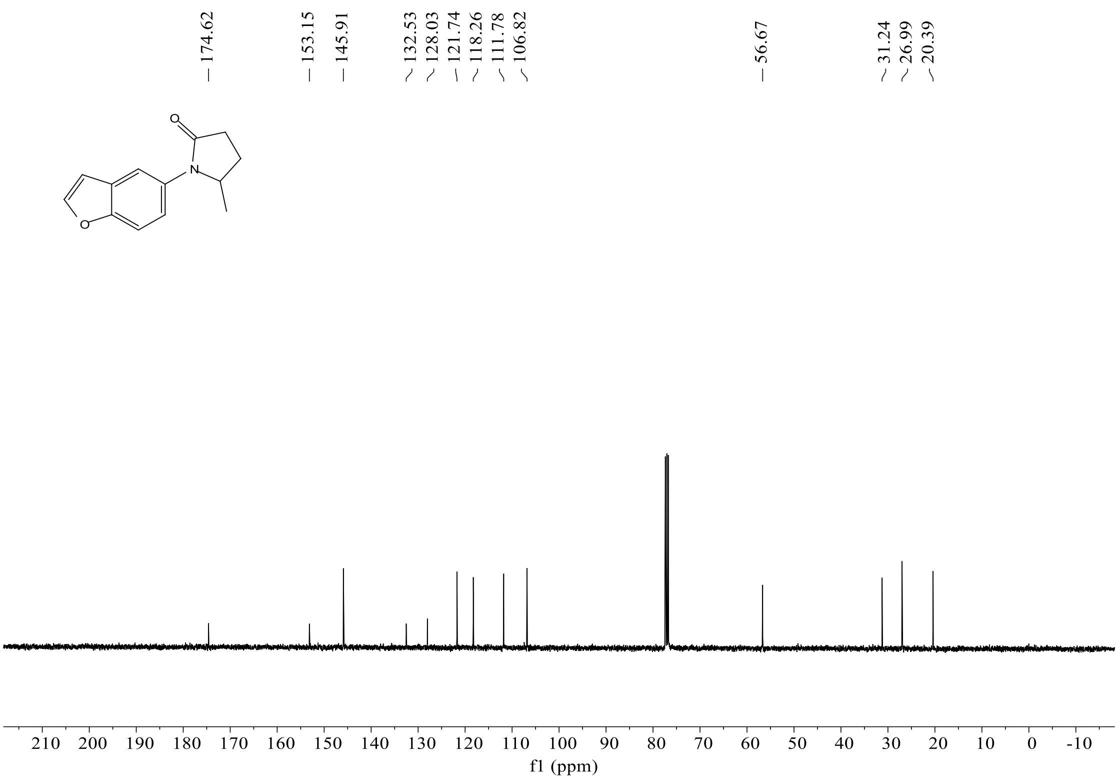
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 4f



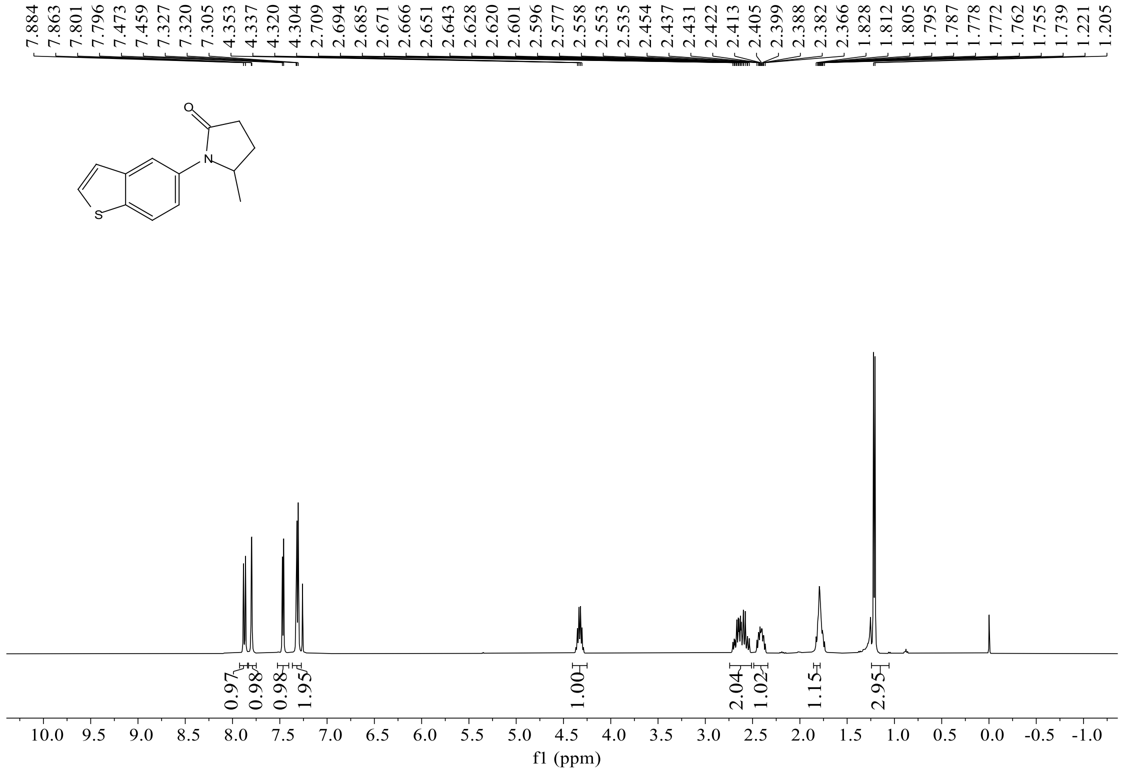
^{1}H NMR (400 MHz, $CDCl_3$) spectrum of 4g



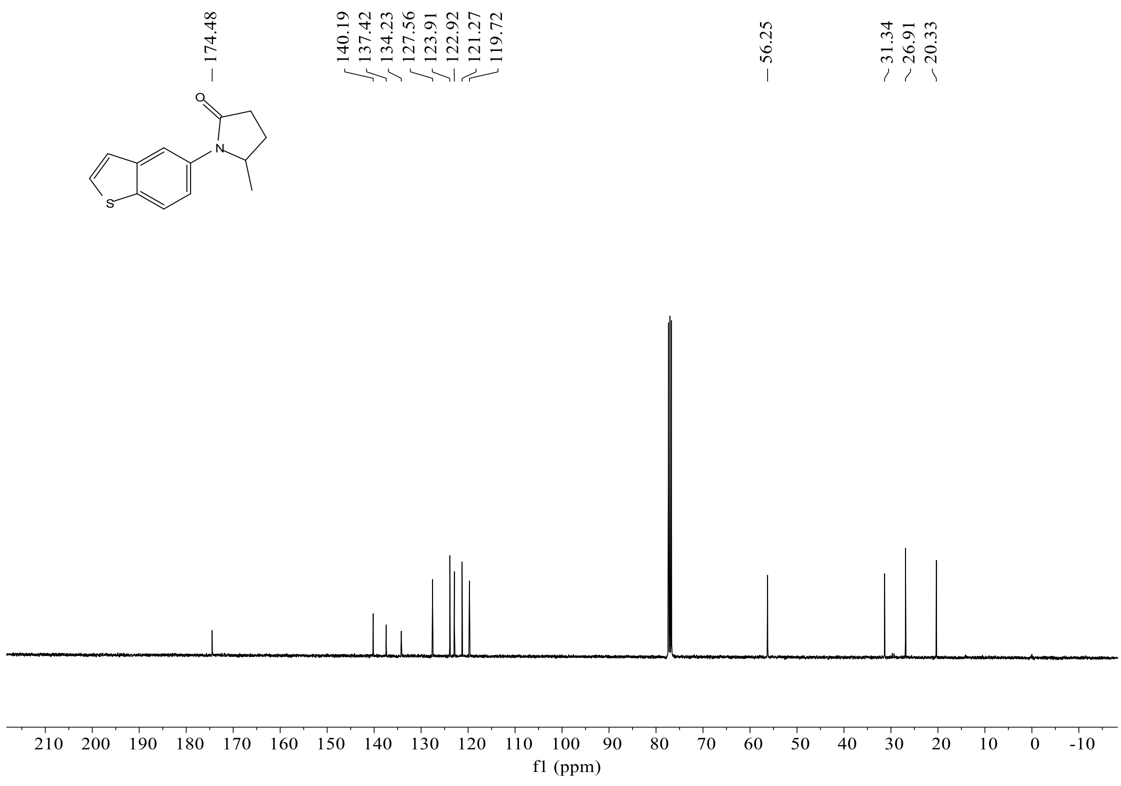
^{13}C NMR (100 MHz, $CDCl_3$) spectrum of 4g



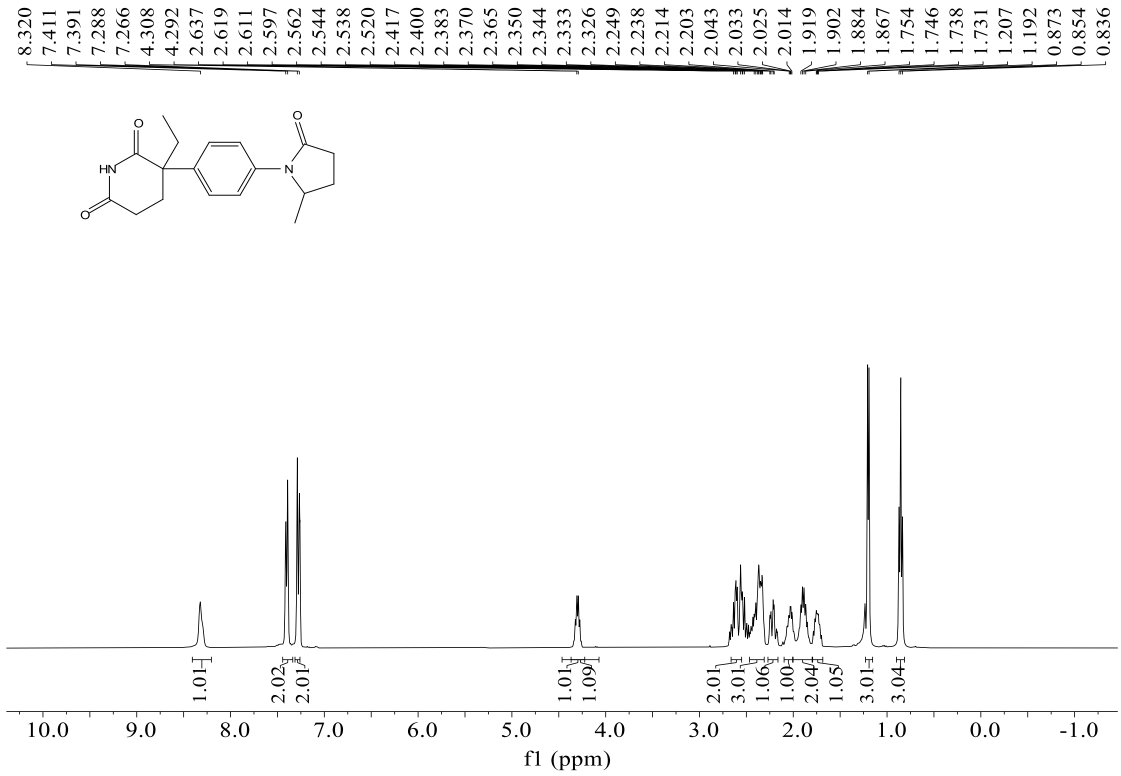
[1]H NMR (400 MHz, CDCl₃) spectrum of 4h



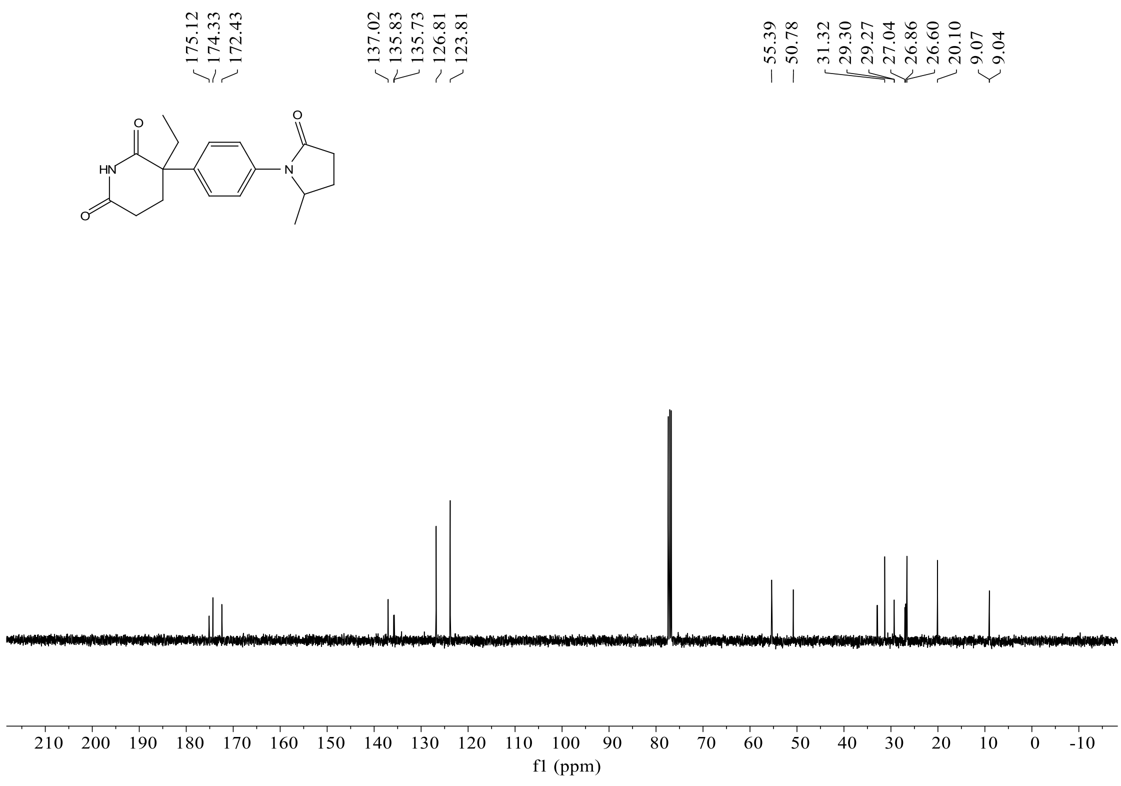
[13]C NMR (100 MHz, CDCl₃) spectrum of 4h



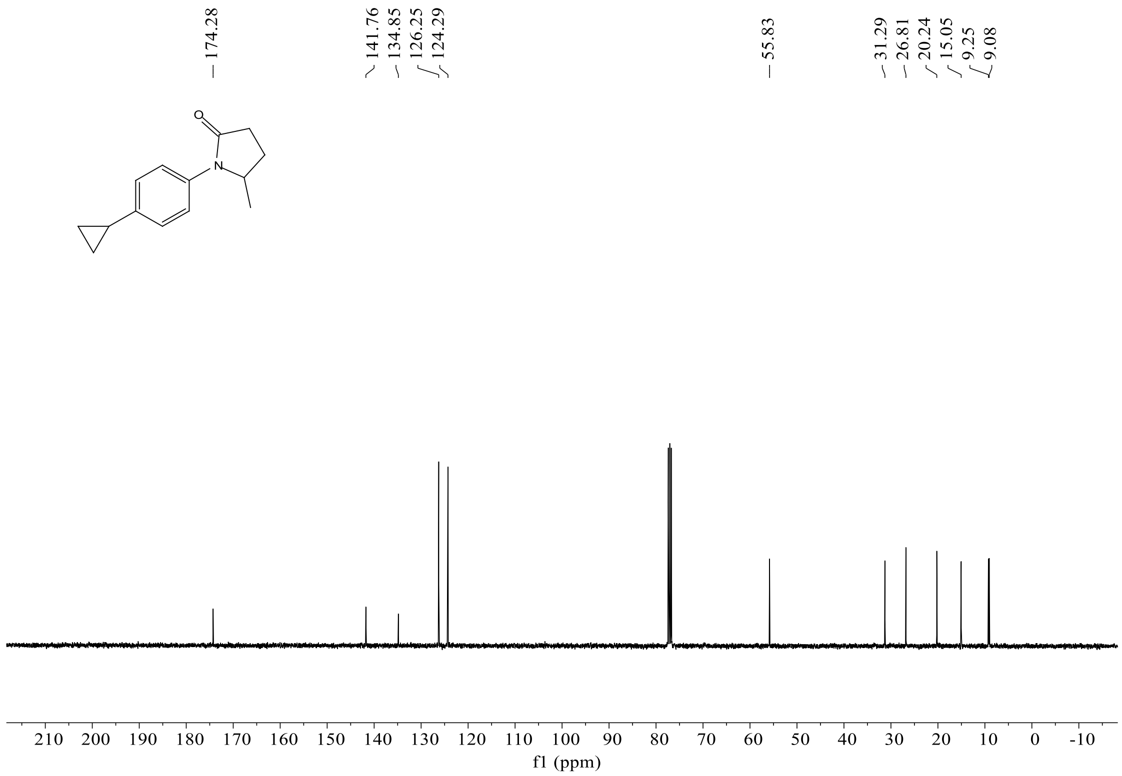
[1]H NMR (400 MHz, CDCl$_3$) spectrum of 4i



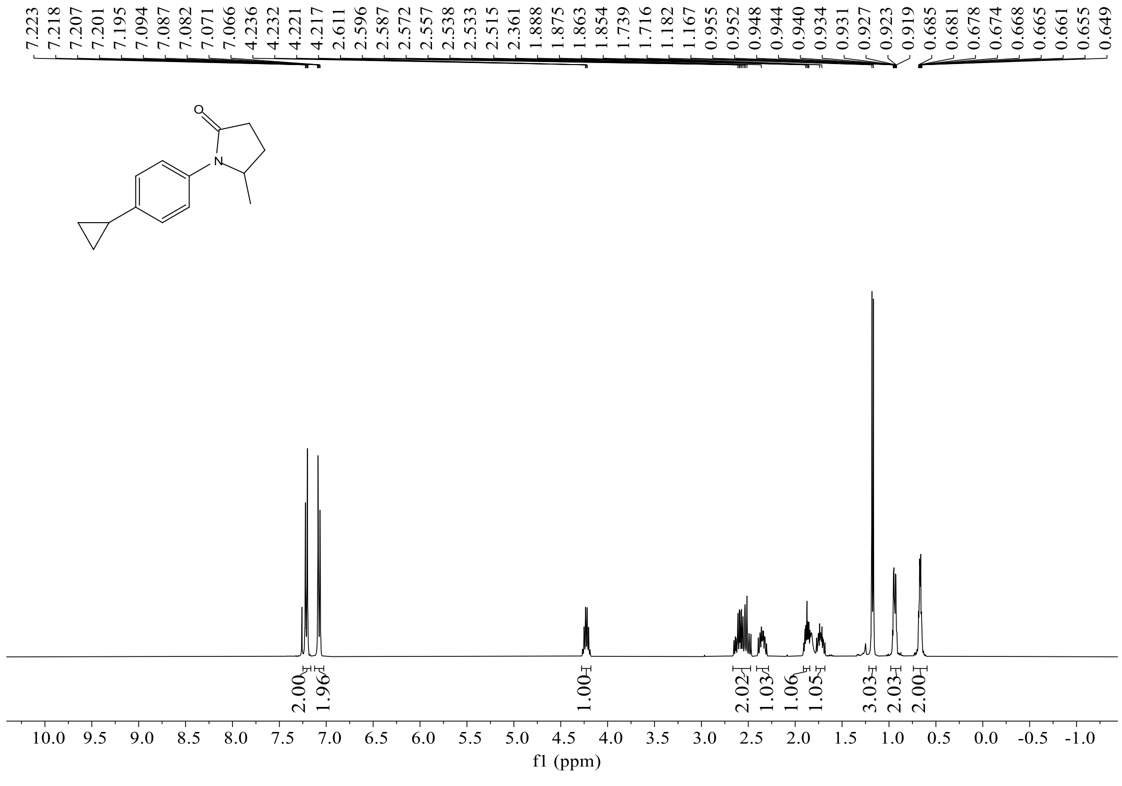
[13]C NMR (100 MHz, CDCl$_3$) spectrum of 4i



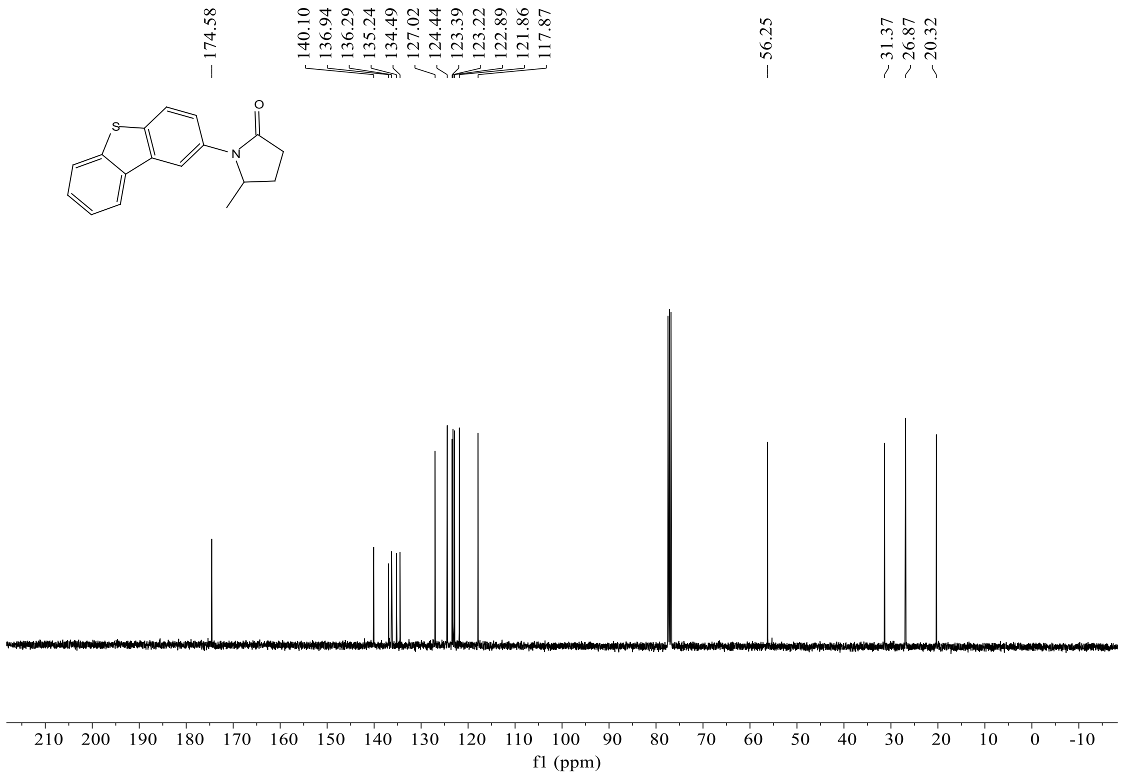
[1]H NMR (400 MHz, $CDCl_3$) spectrum of 4j



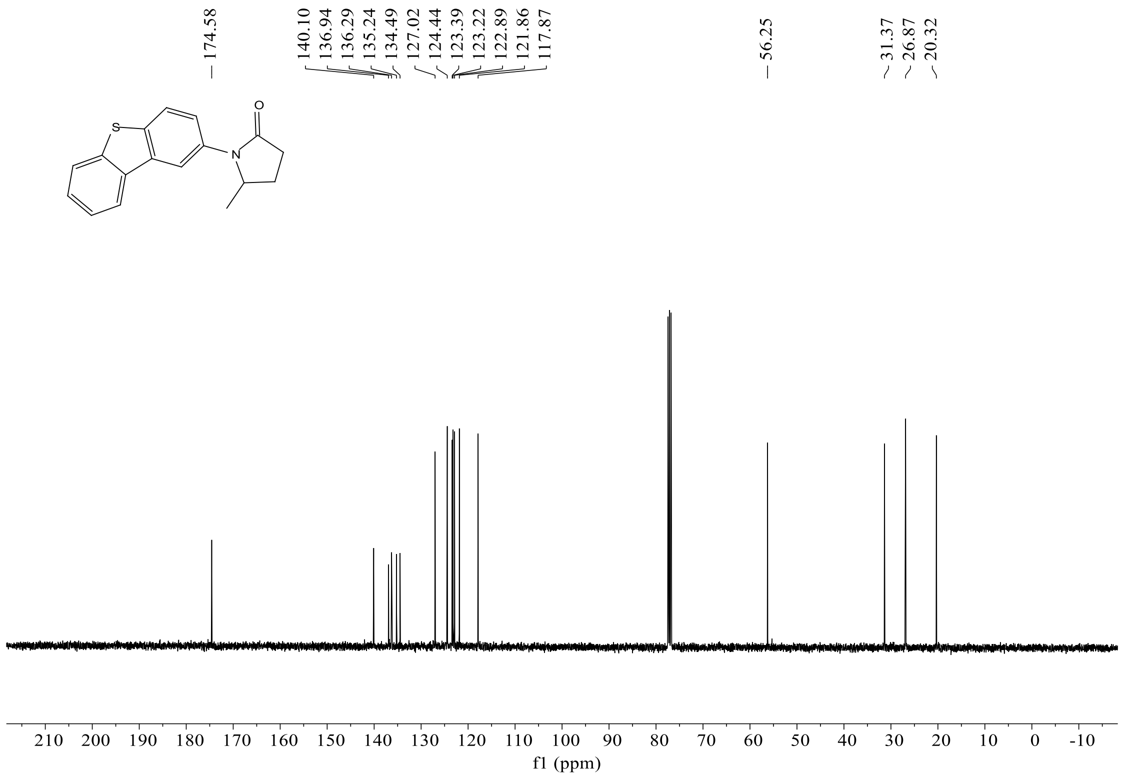
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 4j



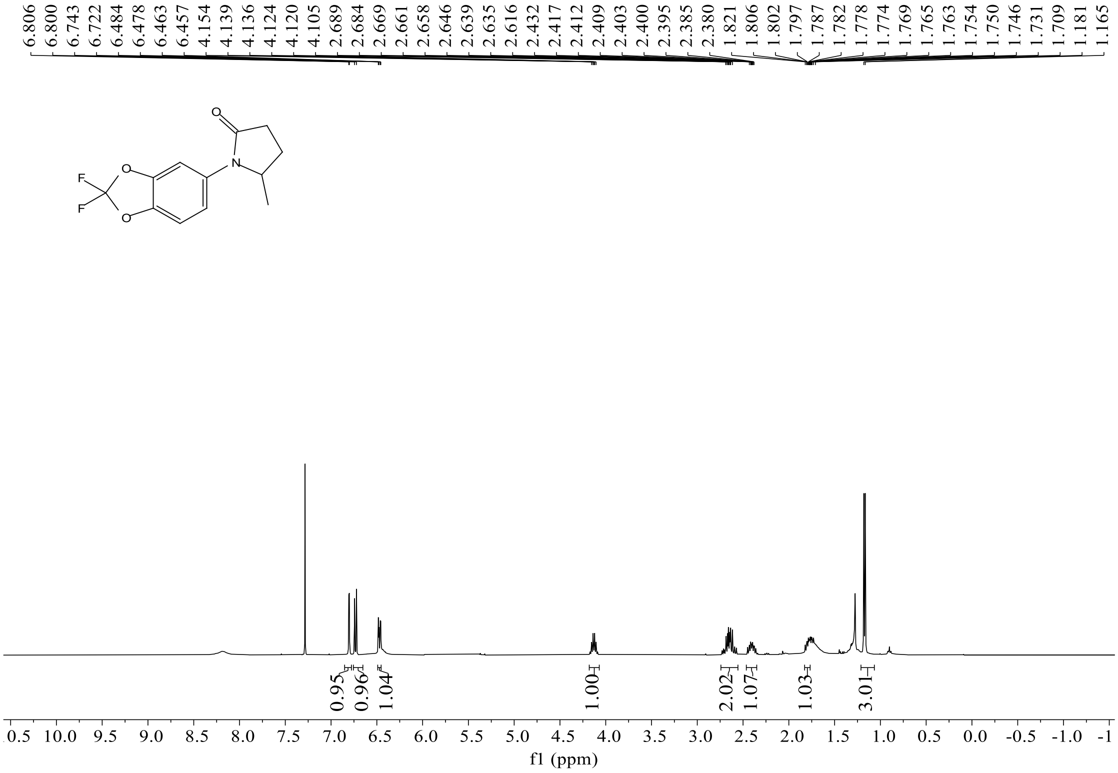
[13]C NMR (100 MHz, $CDCl_3$) spectrum of 4k



[13]C NMR (100 MHz, $CDCl_3$) spectrum of 4k



^{13}C NMR (100 MHz, CDCl$_3$) spectrum of 4l



^{13}C NMR (100 MHz, CDCl$_3$) spectrum of 4l