Supporting Information for

BF_3•OEt_2-Mediated Transamidation of Unprotected Primary Amides Under Solvent-free condition

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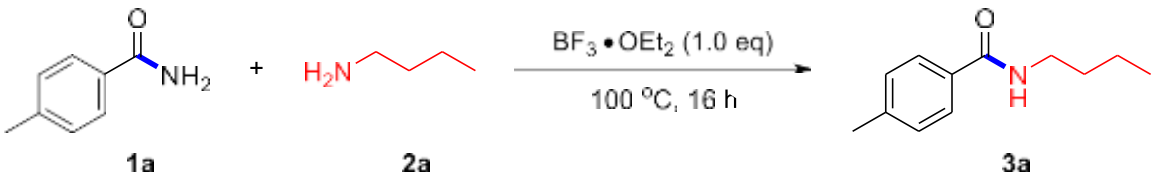
1. General Information

All glassware was oven dried at 60 °C for 8 hours and cooled down under vacuum. Unless otherwise noted, all reagents were purchased from commercial suppliers and used without further purification. Analytical thin layer chromatography (TLC) was performed on precoated aluminum-backed silica gel 60 F_{254} plates (EMD Millipore, 200 μm thickness). TLC plates were visualized with ultraviolet light. Flash column chromatography was performed using Tsingtao silica gel (200-300). ^{1}H, ^{13}C and ^{19}F NMR spectra were recorded on a Bruker Avance DRX - 400 spectrometers; chemical shifts (δ) are given in ppm and calibrated using the signal of residual undeuterated solvent as internal reference ($CDCl_3$: δH = 7.26 ppm and δC = 77.16 ppm, DMSO-d_6: δH = 2.50 ppm and δC = 39.50 ppm). Data for ^{1}H NMR, ^{19}F NMR and ^{13}C NMR are reported as follows: chemical shift (δ, ppm), multiplicity, integration, and coupling constant (Hz).

2. Experimental Section

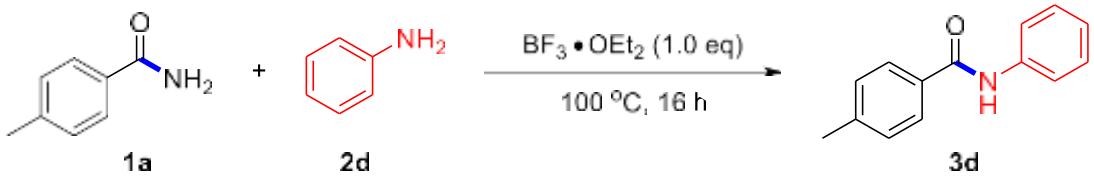
General experimental procedures for 3a



1a **2a** **3a**

Para-toluamide **1** (1.0 eq, 0.50 mmol, 67.60 mg), n-butylamine **2** (2.0 eq, 1.00 mmol, 73.10 mg) and BF_3•OEt_2 (1.0 eq, 0.50 mmol, 70.90 mg) were added separately to a dry reaction tube (10 mL) with magnetic stirrer, without adding additional solvent. The reaction was carried out in 100 °C oil bath for 16 h. After the reaction completed, the reaction solution was diluted with DCM, filtered by silica gel short column, and washed by DCM. The crude mixture is concentrated in vacuum, the crude mixture is concentrated in vacuum, and the obtained crude product is purified by silica gel flash chromatography. Eluted product **3a** with a mixture of ethyl acetate, petroleum ether and methylene chloride (1:16:5), and the yield was 96% (99.50 mg).

General experimental procedures for 3d



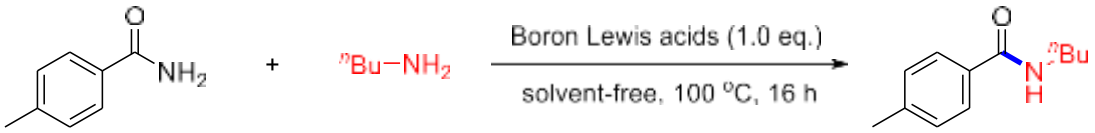
1a **2d** **3d**

Para-toluamide **1** (1.0 eq, 0.50 mmol, 67.60 mg), aniline **2** (1.5 eq, 0.75 mmol, 69.80 mg) and BF_3•OEt_2 (1.0 eq, 0.50 mmol, 70.90 mg) were added separately to a dry reaction tube (10 mL) with magnetic stirrer, without adding additional solvent. The reaction was carried out in 100 °C oil bath for 16 h. After the reaction completed, the reaction solution was diluted with DCM, filtered by silica gel short column, and washed by DCM. The crude mixture is concentrated in vacuum, the crude mixture is concentrated in vacuum, and the obtained crude product is purified by silica gel flash chromatography. Eluted product **3d** with a mixture of ethyl acetate, petroleum ether and methylene chloride (1:16:5), and the yield was 92% (97.20 mg).

3. Optimize Reaction Conditions

We started with 4-methylbenzamide **1a** and ^{n}Bu-NH$_2$ **2a** as model substrates to investigate the transamidation reaction. In order to optimize the reaction conditions, we set a series of experimental parameters, including the boron lewis acids, dosage of BF_3•OEt_2, solvent and reaction time.

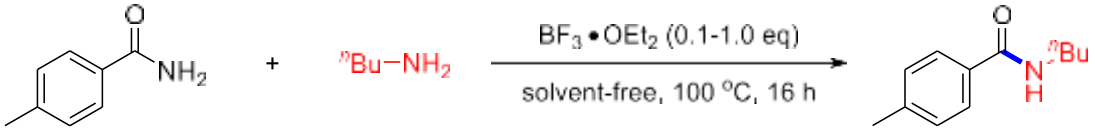
Table S1 Optimization of Boron Lewis acids



1a, 1.0 eq **2a**, 2.0 eq **3a**

Entry	Lewis acids	Tem. (°C)	Solvent	Yield (%)[b]
1	HBF_4 (48 wt.% in H_2O)	100	-	89
2	BCl_3 (1 M in PhMe)	100	-	55
3	BBr_3	100	-	47
4	$B(C_6F_5)_3$	100	-	48
5	BF_3•OEt_2	100	-	96

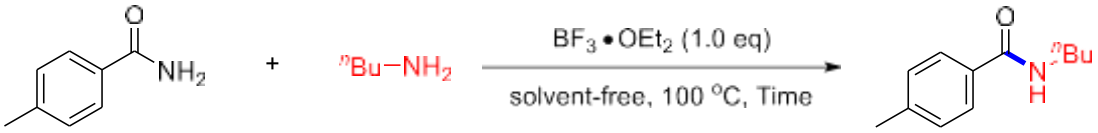
Table S2 Optimization of BF_3•OEt_2 dosage



1a, 1.0 eq **2a**, 2.0 eq **3a**

Entry	Lewis acid (eq)	Tem. (°C)	Solvent	Yield (%)[b]
1	0.1(10 mol%)	100	-	44
2	0.2	100	-	60
3	0.5	100	-	67
4	0.8	100	-	81
5	1.0	100	-	96

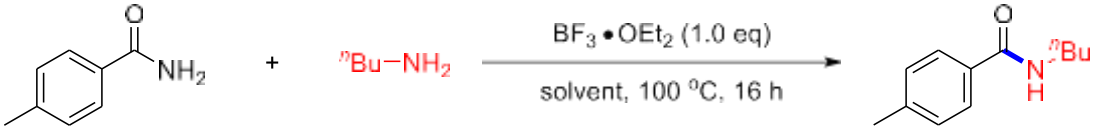
Table S3 Optimization of reaction time



1a, 1.0 eq **2a**, 2.0 eq **3a**

Entry	Lewis acid	Tem. (°C)	Time (h)	Yield (%)[b]
1	$BF_3 \bullet OEt_2$	100	4	57
2	$BF_3 \bullet OEt_2$	100	8	73
3	$BF_3 \bullet OEt_2$	100	12	88
4	$BF_3 \bullet OEt_2$	100	16	96

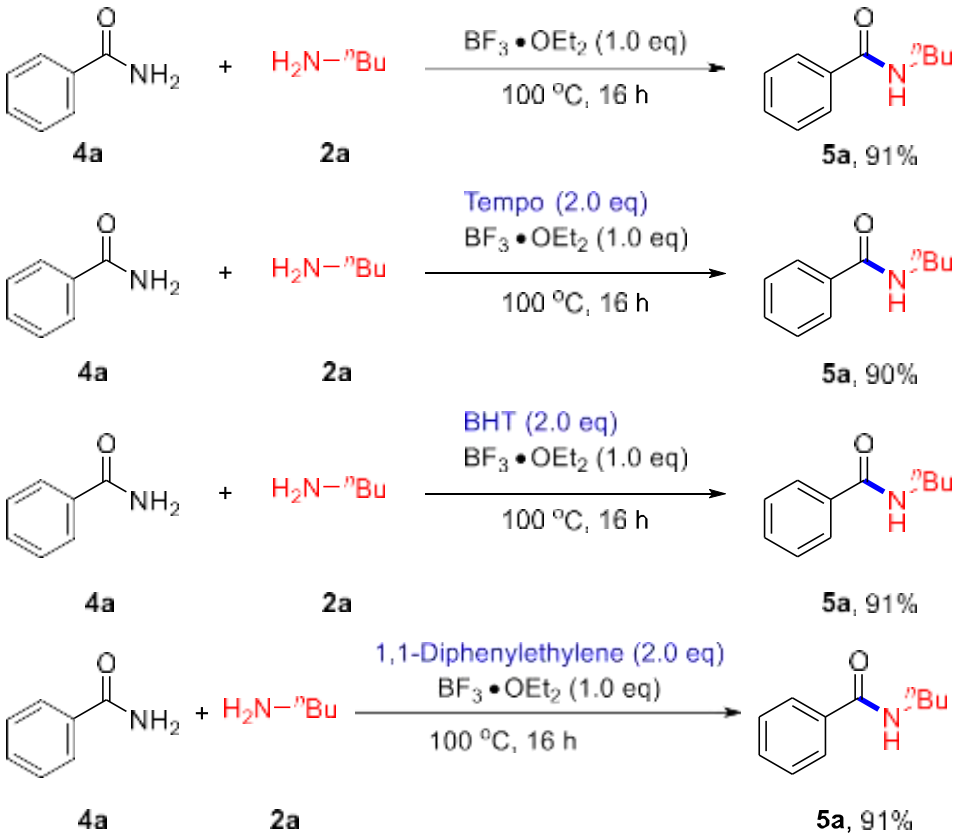
Table S4 Optimization of Solvents



1a, 1.0 eq **2a**, 2.0 eq **3a**

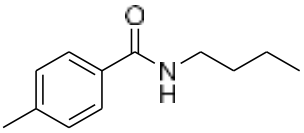
Entry	Solvent	Tem. (°C)	Time (h)	Yield (%)[b]
1	THF	100	16	41
2	DMF	100	16	35
3	DMSO	100	16	31
4	Toluene	100	16	88
5	2-MeTHF	100	16	39
6	1,4-Dioxane	100	16	47
7	--	100	16	96

Figure S1 Radical Capture Experiments



4. Characterization data of products

N-butyl-4-methylbenzamide (3a)[1]



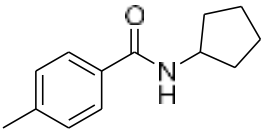
3a was obtained in 96% yield (99.50 mg) as a white oil;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.65 (d, *J* = 8.2 Hz, 2H), 7.17 (d, *J* = 8.2 Hz, 2H), 6.50 (s, 1H), 3.39 (q, *J* = 7.2, 6.8 Hz, 2H), 2.35 (s, 3H), 1.55 (t, *J* = 7.4 Hz, 2H), 1.36 (q, *J* = 7.4 Hz, 2H), 0.91 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.7, 141.6, 132.0, 129.1, 126.9, 39.8, 31.8, 21.4, 20.2, 13.8.

MS:m/z 207 (M^+).

N-cyclopentyl-4-methylbenzamide (3b)[2]



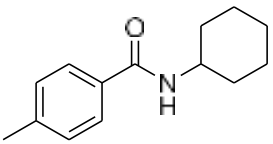
3b was obtained in 90% yield (91.50 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.63 (d, *J* = 7.9 Hz, 2H), 7.18 (d, *J* = 7.7 Hz, 2H), 6.22 (s, 1H), 4.36 (q, *J* = 7.1 Hz, 1H), 2.36 (s, 3H), 2.12 – 1.97 (m, 2H), 1.75 – 1.55 (m, 4H), 1.54 – 1.37 (m, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 167.2, 141.6, 132.0, 129.2, 126.9, 51.7, 33.2, 23.9, 21.5.

MS:m/z 203 (M^+).

N-cyclohexyl-4-methylbenzamide (3c)[3]



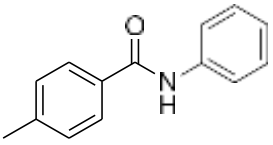
3c was obtained in 92% yield (99.90 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (d, *J* = 7.8 Hz, 2H), 7.17 (d, *J* = 7.8 Hz, 2H), 6.17 (s, 1H), 3.93 (s, 1H), 2.35 (s, 3H), 1.98 (d, *J* = 16.6 Hz, 2H), 1.71 (d, *J* = 13.9 Hz, 2H), 1.66 – 1.55 (m, 1H), 1.37 (q, *J* = 13.0, 12.6 Hz, 2H), 1.28 – 1.11 (m, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.7, 141.5, 132.3, 129.1, 126.9, 48.7, 33.2, 25.6, 25.0, 21.5.

MS:m/z 217 (M^+).

4-methyl-N-phenylbenzamide (3d)[4]



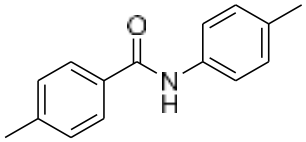
3d was obtained in 92% yield (97.10 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.09 (s, 1H), 7.75 (d, *J* = 7.8 Hz, 2H), 7.64 (d, *J* = 8.0 Hz, 2H), 7.33 (t, *J* = 7.7 Hz, 2H), 7.22 (d, *J* = 7.8 Hz, 2H), 7.13 (t, *J* = 7.5 Hz, 1H), 2.40 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$): δ 166.0, 142.4, 138.2, 132.2, 129.4, 129.1, 127.2, 124.5, 120.4, 21.6.

MS:m/z 211 (M^+).

4-methyl-N-(p-tolyl)benzamide (3e)[5]



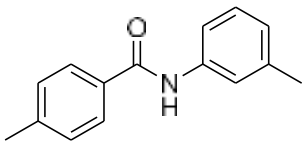
3e was obtained in 94% yield (105.80 mg) as a white solid;

^{1}H NMR (400 MHz, DMSO-d_6) δ 10.09 (s, 1H), 7.87 (d, *J* = 8.2 Hz, 2H), 7.66 (d, *J* = 8.4 Hz, 2H), 7.32 (d, *J* = 7.9 Hz, 2H), 7.14 (d, *J* = 8.2 Hz, 2H), 2.38 (s, 3H), 2.27 (s, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 165.1, 141.4, 136.7, 132.5, 132.2, 128.9, 128.9, 127.6, 120.4, 21.0, 20.5.

MS:m/z 225 (M^+).

4-methyl-N-(m-tolyl)benzamide (3f)[6]



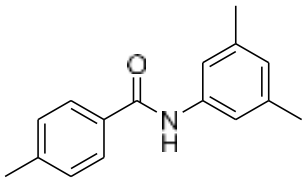
3f was obtained in 89% yield (100.10 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.48 (s, 1H), 7.76 (d, *J* = 7.9 Hz, 2H), 7.52 (s, 1H), 7.47 (d, *J* = 8.3 Hz, 1H), 7.19 (d, *J* = 7.8 Hz, 1H), 7.15 (d, *J* = 8.1 Hz, 2H), 6.93 (d, *J* = 7.6 Hz, 1H), 2.37 (s, 3H), 2.29 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.2, 142.0, 138.7, 138.1, 132.1, 129.2, 128.6, 127.2, 125.1, 121.2, 117.7, 21.4, 21.4.

MS:m/z 225 (M^+).

N-(3,5-dimethylphenyl)-4-methylbenzamide (3g)[6]



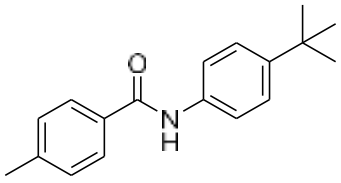
3g was obtained in 88% yield (105.10 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (s, 1H), 7.74 (d, *J* = 8.2 Hz, 2H), 7.27 (s, 2H), 7.24 (d, *J* = 8.0 Hz, 2H), 6.77 (s, 1H), 2.40 (s, 3H), 2.29 (s, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 165.7, 142.3, 138.8, 138.0, 132.4, 129.5, 127.1, 126.3, 118.1, 21.6, 21.5.

MS:m/z 239 (M^+).

N-(4-(tert-butyl)phenyl)-4-methylbenzamide (3h)[7]



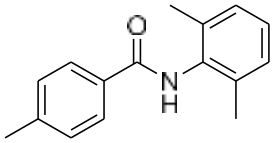
3h was obtained in 91% yield (121.50 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.88 (s, 1H), 7.75 (d, *J* = 7.9 Hz, 2H), 7.55 (d, *J* = 8.6 Hz, 2H), 7.36 (d, *J* = 8.5 Hz, 2H), 7.24 (d, *J* = 7.7 Hz, 2H), 2.40 (s, 3H), 1.31 (s, 9H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 165.8, 147.5, 142.3, 135.6, 132.4, 129.5, 127.2, 126.0, 120.2, 34.5, 31.5, 21.6.

MS:m/z 267 (M^+).

N-(2,6-dimethylphenyl)-4-methylbenzamide (3i)[8]



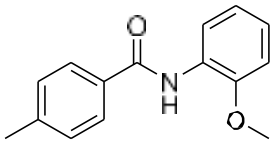
3i was obtained in 83% yield (99.20 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 (d, *J* = 7.9 Hz, 2H), 7.51 (s, 1H), 7.25 (d, *J* = 7.6 Hz, 2H), 7.11 (d, *J* = 5.1 Hz, 1H), 7.09 (d, *J* = 5.3 Hz, 2H), 2.42 (s, 3H), 2.23 (s, 6H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 165.9, 142.3, 135.7, 134.2, 131.7, 129.5, 128.3, 127.4, 127.4, 21.6, 18.6.

MS:m/z 239 (M^+).

N-(2-methoxyphenyl)-4-methylbenzamide (3j)[9]



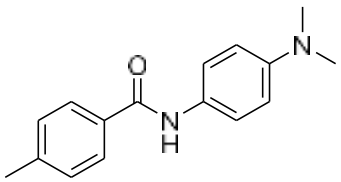
3j was obtained in 89% yield (107.20 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.55 (d, *J* = 7.4 Hz, 2H), 7.80 (d, *J* = 7.9 Hz, 2H), 7.29 (d, *J* = 7.9 Hz, 2H), 7.11 – 6.98 (m, 2H), 6.91 (d, *J* = 8.0 Hz, 1H), 3.91 (s, 3H), 2.42 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 165.3, 148.2, 142.2, 132.5, 129.5, 128.0, 127.1, 123.8, 121.2, 119.9, 110.0, 55.9, 21.6.

MS:m/z 241 (M^+).

N-(4-(dimethylamino)phenyl)-4-methylbenzamide (3k)



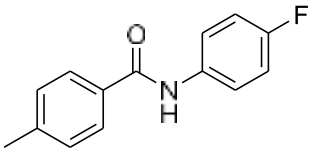
3k was obtained in 79% yield (100.30 mg) as a white solid;

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.91 (s, 1H), 7.89 (d, *J* = 7.9 Hz, 2H), 7.60 (d, *J* = 8.6 Hz, 2H), 7.31 (d, *J* = 7.8 Hz, 2H), 6.73 (d, *J* = 8.8 Hz, 2H), 2.87 (s, 6H), 2.38 (s, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 164.5, 147.2, 141.0, 132.3, 128.9, 128.8, 127.5, 121.8, 112.4, 40.4, 20.9.

HRMS (ESI) m/z calcd. for $C_{16}H_{18}N_2O$ $[M+H]^+$: 255.1492, found 255.1498.

N-(4-fluorophenyl)-4-methylbenzamide (3l)[10]



3l was obtained in 94% yield (107.60 mg) as a white solid;

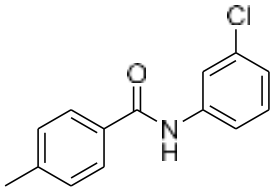
^{1}H NMR (400 MHz, DMSO-d_6) δ 10.22 (s, 1H), 7.87 (d, *J* = 8.0 Hz, 2H), 7.81 (d, *J* = 5.1 Hz, 1H), 7.79 (d, *J* = 5.1 Hz, 1H), 7.33 (d, *J* = 7.9 Hz, 2H), 7.18 (t, *J* = 8.9 Hz, 2H), 2.38 (s, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 165.3, 159.4, 157.0, 141.6, 135.6 (d, J = 2.5 Hz), 131.9, 128.9, 127.7, 122.2 (d, J = 7.8 Hz), 115.2, 115.0, 21.0.

^{19}F NMR (376 MHz, DMSO-d_6) δ -119.07.

MS:m/z 229 (M^+).

N-(3-chlorophenyl)-4-methylbenzamide (3m)[11]



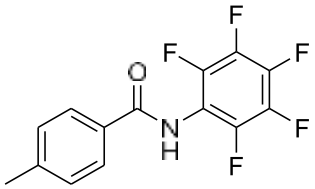
3m was obtained in 87% yield (106.90 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.12 (s, 1H), 7.74 (d, *J* = 6.9 Hz, 2H), 7.71 (s, 1H), 7.48 (d, *J* = 8.3 Hz, 1H), 7.22 (d, *J* = 8.0 Hz, 3H), 7.08 (d, *J* = 7.9 Hz, 1H), 2.39 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 166.1, 142.7, 139.4, 134.7, 131.7, 130.0, 129.5, 127.2, 124.5, 120.5, 118.4, 21.6.

MS:m/z 245 (M^+).

4-methyl-N-(perfluorophenyl)benzamide (3n)[12]



3n was obtained in 81% yield (122.00 mg) as a yellow solid;

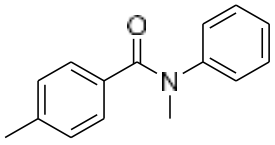
^{1}H NMR (400 MHz, DMSO-d_6) δ 10.44 (s, 1H), 7.91 (d, *J* = 8.0 Hz, 2H), 7.37 (d, *J* = 7.9 Hz, 2H), 2.40 (s, 3H).

^{13}CNMR (100 MHz, DMSO-d_6) δ 165.3, 147.2 – 142.8 (m), 142.7, 141.7 – 136.1 (m), 129.5, 129.2, 128.0, 113.6 – 113.1(m), 21.1

^{19}F NMR (376 MHz, DMSO-d_6) δ -140.54, -152.72, -158.68.

MS:m/z 301 (M^+).

N,4-dimethyl-N-phenylbenzamide (3o)[13]



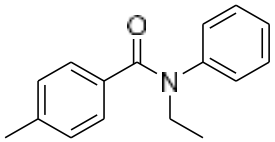
3o was obtained in 87% yield (98.00 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.22 – 7.13 (m, 4H), 7.12 – 7.04 (m, 1H), 7.00 (d, *J* = 7.9 Hz, 2H), 6.91 (d, *J* = 7.8 Hz, 2H), 3.45 (s, 3H), 2.19 (s, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.5, 145.0, 139.7, 132.8, 129.0, 128.8, 128.3, 126.7, 126.3, 38.4, 21.3.

MS:m/z 225 (M^+).

N-ethyl-4-methyl-N-phenylbenzamide (3p)[14]



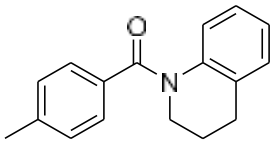
3p was obtained in 77% yield (92.10 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.26 – 7.15 (m, 4H), 7.17 – 7.08 (m, 1H), 7.02 (d, *J* = 7.7 Hz, 2H), 6.93 (d, *J* = 7.9 Hz, 2H), 3.97 (q, *J* = 7.1 Hz, 2H), 2.22 (s, 3H), 1.21 (t, *J* = 7.1 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.2, 143.6, 139.6, 133.4, 129.1, 128.9, 128.4, 127.9, 126.5, 45.5, 21.4, 13.0.

MS:m/z 239 (M^+).

(3,4-dihydroquinolin-1(2H)-yl)(p-tolyl)methanone (3q)[15]



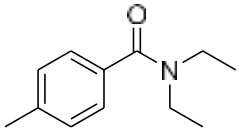
3q was obtained in 57% yield (71.60 mg) as a white solid;

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.17 (d, *J* = 7.7 Hz, 2H), 7.04 (d, *J* = 7.5 Hz, 1H), 6.96 (d, *J* = 7.8 Hz, 2H), 6.88 (t, *J* = 7.5 Hz, 1H), 6.76 (t, *J* = 7.8 Hz, 1H), 6.63 (d, *J* = 8.1 Hz, 1H), 3.80 (t, *J* = 6.5 Hz, 2H), 2.73 (t, *J* = 6.6 Hz, 2H), 2.22 (s, 3H), 1.93 (t, *J* = 6.6 Hz, 2H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 170.3, 140.3, 139.5, 133.3, 131.5, 128.7, 128.7, 128.3, 125.7, 125.4, 124.4, 44.4, 26.9, 24.2, 21.4.

MS:m/z 251 (M^+).

N,N-diethyl-4-methylbenzamide (3r)[13]



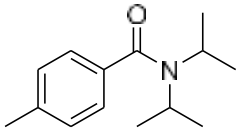
3r was obtained in 53% yield (50.60 mg) as a white oil;

^{1}H NMR (400 MHz, DMSO-d_6) δ 7.22 (s, 4H), 3.40 (s, 2H), 3.18 (s, 2H), 2.32 (s, 3H), 1.24 – 0.90 (m, 6H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 170.2, 138.6, 134.5, 128.9, 126.2, 42.9, 38.9, 20.9, 14.1, 12.9.

MS:m/z 191 (M^+).

N,N-diisopropyl-4-methylbenzamide (3s)[16]



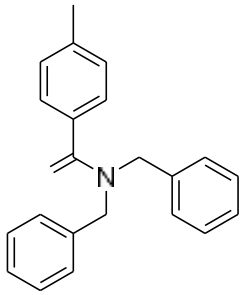
3s was obtained in 44% yield (48.30 mg) as a white solid;

^{1}H NMR (400 MHz, DMSO-d_6) δ 7.21 (d, J = 7.8 Hz, 2H), 7.15 (d, J = 8.0 Hz, 2H), 3.62 (s, 2H), 2.31 (s, 3H), 1.26 (m, 12H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 170.0, 138.0, 136.2, 129.0, 125.4, 20.9, 20.4.

MS:m/z 219 (M^+).

N,N-dibenzyl-4-methylbenzamide (3t)[17]



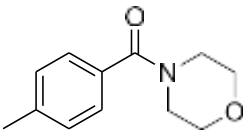
3t was obtained in 51% yield (80.40 mg) as a white solid;

^{1}H NMR (400 MHz, DMSO-d_6) δ 7.41 – 7.10 (m, 14H), 4.56 (s, 2H), 4.39 (s, 2H), 2.29 (s, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 171.5, 139.3, 136.7, 133.3, 129.1, 128.8, 127.6, 126.8, 126.6, 51.5, 46.9, 20.9.

MS:m/z 315 (M^+).

Morpholino(p-tolyl)methanone (3u)[18]



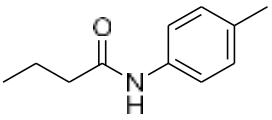
3u was obtained in 60% yield (61.50 mg) as a white oil;

^{1}H NMR (400 MHz, DMSO-d_6) δ 7.30 (d, J = 8.2 Hz, 2H), 7.24 (d, J = 7.9 Hz, 2H), 3.57 (s, 8H), 2.32 (s, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 169.3, 139.4, 132.7, 129.0, 127.2, 66.2, 21.0.

MS:m/z 205 (M^+).

N-(p-tolyl)butyramide (3v)[19]



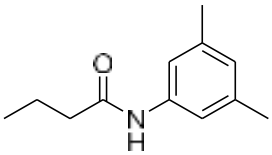
3v was obtained in 81% yield (71.70 mg) as a white solid

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.75 (s, 1H), 7.47 (d, J = 8.0 Hz, 2H), 7.08 (d, J = 8.0 Hz, 2H), 2.26 (d, J = 7.3 Hz, 2H), 2.23 (s, 3H), 1.60 (q, J = 7.3 Hz, 2H), 0.90 (t, J = 6.7 Hz, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 170.8, 136.8, 131.7, 129.0, 119.0, 38.3, 20.4, 18.6, 13.6.

MS:m/z 177 (M^+).

N-(3,5-dimethylphenyl)butyramide (3w)[20]



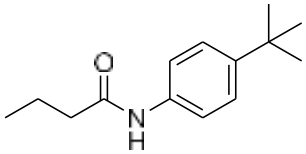
3w was obtained in 90% yield (86.00 mg) as a yellow oil

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.64 (s, 1H), 7.17 (s, 2H), 6.73 (s, 1H), 2.30 (t, *J* = 7.5 Hz, 2H), 2.25 (s, 6H), 1.73 (q, *J* = 7.4 Hz, 2H), 0.97 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.7, 138.6, 138.0, 125.9, 117.8, 39.7, 21.4, 19.2, 13.8.

MS:m/z 191 (M^+).

N-(4-(tert-butyl)phenyl)butyramide (3x)[21]



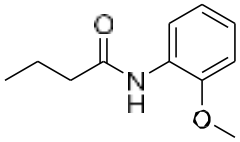
3x was obtained in 94% yield (110.60 mg) as a yellow oil

^{1}H NMR (400 MHz, DMSO-d_6) δ 9.77 (s, 1H), 7.49 (d, *J* = 8.5 Hz, 2H), 7.25 (d, *J* = 8.5 Hz, 2H), 2.24 (t, *J* = 7.3 Hz, 2H), 1.59 (q, *J* = 7.4 Hz, 2H), 1.21 (s, 9H), 0.88 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 171.1, 145.4, 136.8, 125.2, 119.1, 38.4, 34.0, 31.3, 18.8, 13.7.

MS:m/z 235 (M^+).

N-(2-methoxyphenyl)butyramide (3y)[22]



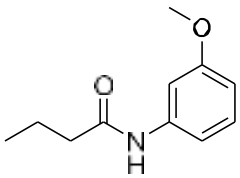
3y was obtained in 93% yield (89.80 mg) as a yellow oil

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.29 (d, *J* = 6.2 Hz, 1H), 7.70 (s, 1H), 6.91 (d, *J* = 7.4 Hz, 1H), 6.85 (d, *J* = 7.6 Hz, 1H), 6.75 (d, *J* = 7.8 Hz, 1H), 3.76 (s, 3H), 2.26 (t, *J* = 7.5 Hz, 2H), 1.65 (q, *J* = 7.4 Hz, 2H), 0.90 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.2, 147.7, 127.7, 123.5, 121.0, 119.7, 109.8, 55.6, 40.0, 19.1, 13.8.

MS:m/z 193 (M^+).

N-(3-methoxyphenyl)butyramide (3z)[23]



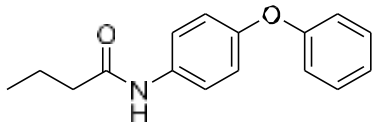
3z was obtained in 90% yield (86.90 mg) as a yellow oil

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (s, 1H), 7.33 (s, 1H), 7.17 (t, *J* = 8.2 Hz, 1H), 6.98 (d, *J* = 7.9 Hz, 1H), 6.65 (d, *J* = 2.6 Hz, 1H), 3.76 (s, 3H), 2.32 (t, *J* = 7.5 Hz, 2H), 1.73 (q, *J* = 7.4 Hz, 2H), 0.97 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.8, 160.1, 139.4, 129.7, 112.0, 110.1, 105.5, 55.3, 39.71, 19.1, 13.8.

MS:m/z 193 (M^+).

N-(4-phenoxyphenyl)butyramide (3aa)[24]



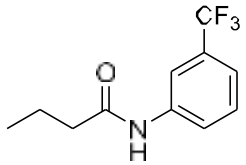
3aa was obtained in 77% yield (98.30 mg) as a white solid

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.05 (s, 1H), 7.49 (d, *J* = 8.5 Hz, 2H), 7.30 (t, *J* = 7.8 Hz, 2H), 7.07 (t, *J* = 7.4 Hz, 1H), 6.95 (t, *J* = 8.2 Hz, 4H), 2.33 (t, *J* = 7.5 Hz, 2H), 1.74 (q, *J* = 7.4 Hz, 2H), 0.98 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 171.9, 157.6, 153.3, 133.7, 129.8, 123.1, 121.9, 119.6, 118.4, 39.4, 19.2, 13.8.

MS:m/z 255 (M^+).

N-(3-(trifluoromethyl)phenyl)butyramide (3ab)[25]



3ab was obtained in 83% yield (95.90 mg) as a white solid

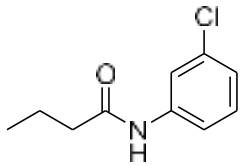
^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (s, 1H), 7.88 (s, 1H), 7.70 (d, *J* = 7.6 Hz, 1H), 7.35 (t, *J* = 7.8 Hz, 1H), 7.31 (d, *J* = 7.9 Hz, 1H), 2.36 (t, *J* = 7.5 Hz, 2H), 1.73 (q, *J* = 7.4 Hz, 2H), 0.95 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.8, 138.8, 131.4, 131.1, 129.4, 125.3, 123.3, 122.6, 120.8 (d, J = 3.7 Hz), 117.0 (d, J = 3.9 Hz), 39.4, 19.1, 13.7.

^{19}F NMR (376 MHz, $CDCl_3$) δ -62.83.

MS:m/z 231 (M^+).

N-(3-chlorophenyl)butyramide (3ac)[20]



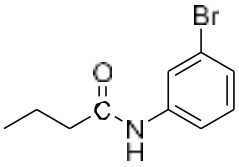
3ac was obtained in 83% yield (82.00 mg) as a yellow oil

^{1}H NMR (400 MHz, $CDCl_3$) δ 8.24 (s, 1H), 7.66 (s, 1H), 7.36 (d, *J* = 10.1 Hz, 1H), 7.17 (t, *J* = 8.1 Hz, 1H), 7.03 (d, *J* = 8.7 Hz, 1H), 2.33 (t, *J* = 7.5 Hz, 2H), 1.72 (q, *J* = 7.4 Hz, 2H), 0.96 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.3, 139.3, 134.5, 129.9, 124.2, 120.3, 118.2, 39.5, 19.2, 13.8.

MS:m/z 197 (M^+).

N-(3-bromophenyl)butyramide (3ad)



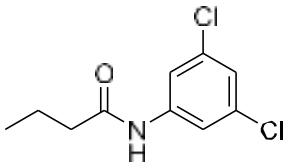
3ad was obtained in 90% yield (108.90 mg) as a yellow oil

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90 (s, 1H), 7.79 (d, *J* = 2.6 Hz, 1H), 7.41 (d, *J* = 8.0 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.14 (d, *J* = 8.0 Hz, 1H), 2.33 (t, *J* = 7.4 Hz, 2H), 1.73 (q, *J* = 7.4 Hz, 2H), 0.96 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.1, 139.4, 130.3, 127.2, 123.0, 123.0, 122.6, 118.5, 118.5, 39.6, 19.1, 13.8.

HRMS (ESI) m/z calcd. for $C_{10}H_{12}BrNO$ $[M+H]^+$: 242.0175, found 242.0189.

N-(3,5-dichlorophenyl)butyramide (3ae)



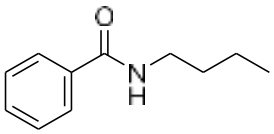
3ae was obtained in 81% yield (94.00 mg) as a white solid

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.78 (s, 1H), 7.48 (d, *J* = 1.8 Hz, 2H), 7.06 (s, 1H), 2.34 (t, *J* = 7.4 Hz, 2H), 1.74 (q, *J* = 7.4 Hz, 2H), 0.98 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz, $CDCl_3$) δ 172.1, 139.9, 135.3, 124.2, 118.3, 39.6, 19.1, 13.8.

HRMS (ESI) m/z calcd. for $C_{10}H_{11}Cl_2NO$ $[M+H]^+$: 232.0290, found 232.0295.

N-butylbenzamide (5a)[26]



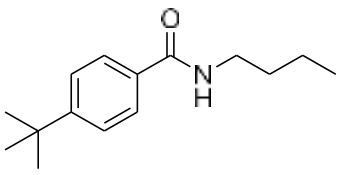
5a was obtained in 93% yield (82.40 mg) as a white oil;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.75 (d, *J* = 7.6 Hz, 2H), 7.45 (t, *J* = 7.3 Hz, 1H), 7.38 (t, *J* = 7.5 Hz, 2H), 6.45 (s, 1H), 3.42 (q, *J* = 6.7 Hz, 2H), 1.64 – 1.47 (m, 2H), 1.38 (q, *J* = 7.5 Hz, 2H), 0.92 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 167.7, 134.9, 131.3, 128.5, 127.0, 39.9, 31.8, 20.2, 13.9.

MS:m/z 177 (M^+).

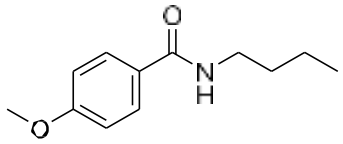
4-(tert-butyl)-N-butylbenzamide (5b)[27]



5b was obtained in 93% yield (108.50 mg) as a white oil;

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.35 (s, 1H), 7.77 (d, *J* = 8.3 Hz, 2H), 7.44 (d, *J* = 8.3 Hz, 2H), 3.25 (q, *J* = 6.6 Hz, 2H), 1.52 – 1.42 (m, 2H), 1.35 – 1.29 (m, 2H), 1.28 (s, 9H), 0.89 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, DMSO-d_6) δ 165.7, 153.6, 132.0, 127.0, 124.9, 38.8, 34.5, 31.3, 30.9, 19.7, 13.7.
MS:m/z 233 (M^+).

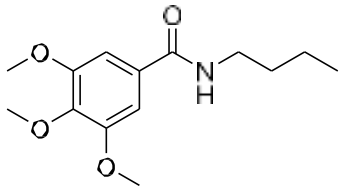
N-butyl-4-methoxybenzamide (5c)[27]



5c was obtained in 93% yield (96.40 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.73 (d, *J* = 8.3 Hz, 2H), 6.95 (s, 1H), 6.79 (d, *J* = 8.4 Hz, 2H), 3.74 (s, 3H), 3.32 (q, *J* = 6.8 Hz, 2H), 1.50 (t, *J* = 7.6 Hz, 2H), 1.29 (q, *J* = 7.6 Hz, 2H), 0.84 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz,$CDCl_3$) δ 167.3, 161.9, 128.8, 127.0, 113.5, 55.3, 39.8, 31.7, 20.1, 13.8.
MS:m/z 207 (M^+).

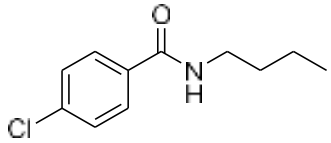
N-butyl-3,4,5-trimethoxybenzamide (5d)[28]



5d was obtained in 88% yield (117.60 mg) as a white oil;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.06 (s, 2H), 6.95 (t, *J* = 5.8 Hz, 1H), 3.84 (s, 4H), 3.81 (s, 6H), 3.37 (q, *J* = 6.7 Hz, 2H), 1.54 (t, *J* = 7.5 Hz, 2H), 1.34 (q, *J* = 7.5 Hz, 2H), 0.89 (t, *J* = 7.4 Hz, 3H).
^{13}C NMR (100 MHz,$CDCl_3$) δ 167.2, 153.0, 140.5, 130.2, 104.4, 60.8, 56.1, 39.9, 31.7, 20.1, 13.7.
MS:m/z 267 (M^+).

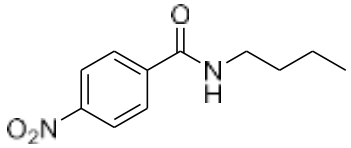
N-butyl-4-chlorobenzamide (5e)[29]



5e was obtained in 92% yield (97.40 mg) as a white solid;

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.51 (t, *J* = 5.6 Hz, 1H), 7.85 (d, *J* = 8.3 Hz, 2H), 7.52 (d, *J* = 8.3 Hz, 2H), 3.24 (q, *J* = 6.6 Hz, 2H), 1.58 – 1.40 (m, 2H), 1.31 (q, *J* = 7.4 Hz, 2H), 0.89 (t, *J* = 7.3 Hz, 3H).
^{13}C NMR (100 MHz, DMSO-d_6) δ 165.0, 135.8, 133.4, 129.1, 128.3, 38.9, 31.2, 19.7, 13.7.
MS:m/z 211 (M^+).

N-butyl-4-nitrobenzamide (5f)[30]



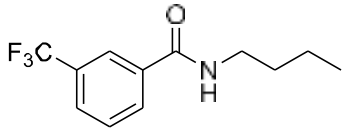
5f was obtained in 91% yield (101.10 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 8.15 (d, *J* = 8.7 Hz, 2H), 7.90 (d, *J* = 8.7 Hz, 2H), 7.16 (s, 1H), 3.38 (q, *J* = 6.7 Hz, 2H), 1.60 – 1.44 (m, 2H), 1.33 (q, *J* = 7.6 Hz, 2H), 0.87 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 165.8, 149.3, 140.5, 128.3, 123.6, 40.2, 31.5, 20.1, 13.7.

MS:m/z 222 (M^+).

N-butyl-3-(trifluoromethyl)benzamide (5g)[31]



5g was obtained in 89% yield (109.10 mg) as a white oil;

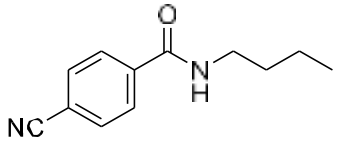
^{1}H NMR (400 MHz,$CDCl_3$) δ 8.02 (s, 1H), 7.93 (d, *J* = 7.8 Hz, 1H), 7.68 (d, *J* = 7.7 Hz, 1H), 7.48 (t, *J* = 7.9 Hz, 1H), 6.90 (s, 1H), 3.41 (q, *J* = 7.0, 6.3 Hz, 2H), 1.57 (t, *J* = 7.6 Hz, 2H), 1.42 – 1.24 (m, 2H), 0.99 – 0.75 (m, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 166.5, 135.7, 132.8 – 131.9 (m), 131.0 (d, J = 32.7 Hz), 129.7 (d, J = 124.8 Hz), 124.4 (t, J = 54.5 Hz), 40.13 , 31.65, 20.21, 13.77).

^{19}F NMR (376 MHz,$CDCl_3$) δ -62.80.

MS:m/z 245 (M^+).

N-butyl-4-cyanobenzamide (5h)[32]



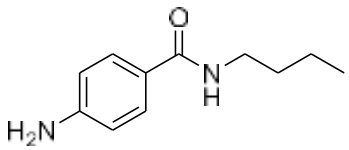
5h was obtained in 92% yield (93.00 mg) as a white oil;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.85 (d, *J* = 8.4 Hz, 2H), 7.62 (d, *J* = 8.4 Hz, 2H), 7.15 (s, 1H), 3.34 (q, *J* = 6.8 Hz, 2H), 1.58 – 1.43 (m, 2H), 1.31 (q, *J* = 7.6 Hz, 2H), 0.86 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 165.9, 138.8, 132.2, 127.8, 118.1, 114.5, 40.0, 31.4, 20.1, 13.7.

MS:m/z 202 (M^+).

4-amino-N-butylbenzamide (5i)[33]



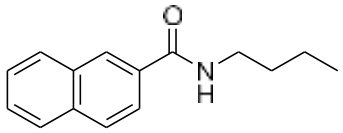
5i was obtained in 91% yield (87.40 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.58 (d, *J* = 8.5 Hz, 2H), 6.64 (d, *J* = 8.1 Hz, 2H), 6.08 (s, 1H), 4.00 (s, 2H), 3.40 (q, *J* = 6.7 Hz, 2H), 1.63 – 1.48 (m, 2H), 1.38 (q, *J* = 7.5 Hz, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 167.4, 149.6, 128.6, 124.4, 114.2, 39.8, 32.0, 20.3, 14.0.

MS:m/z 192 (M^+).

N-butyl-2-naphthamide (5j)[34]



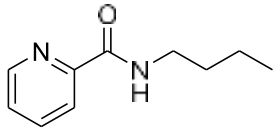
5j was obtained in 92% yield (104.60 mg) as a white solid;

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.62 (s, 1H), 8.45 (s, 1H), 8.07 – 8.00 (m, 1H), 7.99 – 7.91 (m, 3H), 7.68 – 7.47 (m, 2H), 3.36 – 3.25 (m, 2H), 1.55 (t, *J* = 7.0 Hz, 2H), 1.39 – 1.31 (m, 2H), 0.91 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 166.1, 134.0, 132.2, 132.1, 128.8, 127.8, 127.6, 127.4, 127.2, 126.6, 124.2, 39.0, 31.3, 19.7, 13.7.

MS:m/z 227 (M^+).

N-butylpicolinamide (5k)[35]



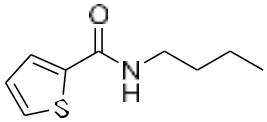
5k was obtained in 91% yield (81.10 mg) as a white oil;

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.73 (s, 1H), 8.61 (d, *J* = 4.7 Hz, 1H), 8.02 (d, *J* = 7.7 Hz, 1H), 7.96 (t, *J* = 7.8 Hz, 1H), 7.56 (t, *J* = 6.1 Hz, 1H), 3.29 (q, *J* = 6.8 Hz, 2H), 1.52 – 1.46 (m, 2H), 1.28 (q, *J* = 7.5 Hz, 2H), 0.86 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 163.7, 150.0, 148.3, 137.7, 126.3, 121.7, 38.4, 31.2, 19.5, 13.6.

MS:m/z 178 (M^+).

N-butylthiophene-2-carboxamide (5l)[36]



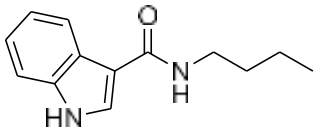
5l was obtained in 90% yield (82.50 mg) as a yellow oil;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.58 (d, *J* = 3.7 Hz, 1H), 7.41 (d, *J* = 4.9 Hz, 1H), 7.01 (d, *J* = 4.3 Hz, 1H), 6.78 (s, 1H), 3.37 (q, *J* = 6.8 Hz, 2H), 1.54 (t, *J* = 7.6 Hz, 2H), 1.33 (q, *J* = 7.4 Hz, 2H), 0.88 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 162.2, 139.4, 129.8, 127.9, 127.6, 39.8, 31.7, 20.2, 13.8.

MS:m/z 183 (M^+).

N-butyl-1H-indole-3-carboxamide (5m)[37]



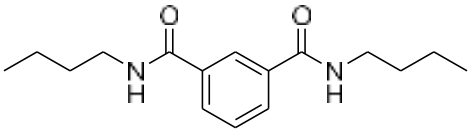
5m was obtained in 78% yield (84.40 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 10.12 (s, 1H), 7.91 (d, *J* = 6.4 Hz, 1H), 7.60 (d, *J* = 4.0 Hz, 1H), 7.40 (d, *J* = 3.8 Hz, 1H), 7.25 – 7.14 (m, 2H), 6.15 (s, 1H), 3.50 (q, *J* = 6.6 Hz, 2H), 1.68 – 1.56 (m, 2H), 1.42 (q, *J* = 7.4 Hz, 2H), 0.95 (t, *J* = 7.0 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 166.2, 136.7, 128.5, 124.6, 122.8, 121.5, 119.6, 112.5, 112.0, 39.5, 32.1, 20.4, 14.0.

MS:m/z 216 (M^+).

N1,N3-dibutylisophthalamide (5n)[38]



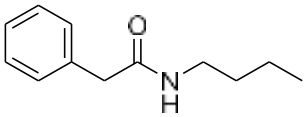
5n was obtained in 92% yield (127.10 mg) as a white solid;

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.54 (t, *J* = 5.7 Hz, 2H), 8.28 (s, 1H), 7.94 (d, *J* = 8.7 Hz, 2H), 7.53 (t, *J* = 7.7 Hz, 1H), 3.27 (q, *J* = 6.6 Hz, 4H), 1.55 – 1.45 (m, 4H), 1.33 (q, *J* = 7.5 Hz, 4H), 0.90 (t, *J* = 7.3 Hz, 6H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 165.8, 134.9, 129.5, 128.2, 126.1, 38.9, 31.2, 19.7, 13.7.

MS:m/z 276 (M^+).

***N-butyl-2-phenylacetamide (5o)*[39]**



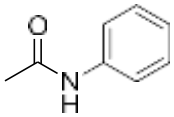
5o was obtained in 90% yield (86.10 mg) as a white oil;

^{1}H NMR (400 MHz, DMSO-d_6) δ 8.07 (s, 1H), 7.30 – 7.24 (m, 4H), 7.24 – 7.16 (m, 1H), 3.40 (s, 2H), 3.05 (q, *J* = 6.8 Hz, 2H), 1.42 – 1.32 (m, 2H), 1.30 – 1.20 (m, 2H), 0.84 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 170.4, 136.7, 129.1, 128.3, 126.5, 42.7, 38.6, 31.4, 19.7, 13.8.

MS:m/z 191 (M^+).

***N-phenylacetamide (5p)*[40]**



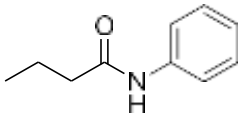
5p was obtained in 89% yield (60.20 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 8.41 (s, 1H), 7.41 (d, *J* = 8.0 Hz, 2H), 7.16 (t, *J* = 7.8 Hz, 2H), 6.97 (t, *J* = 7.4 Hz, 1H), 2.01 (s, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 169.3, 138.2, 128.9, 124.3, 120.3, 24.3.

MS:m/z 135 (M^+).

***N-phenylbutyramide (5q)*[41]**



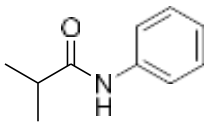
5q was obtained in 94% yield (76.70 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.99 (s, 1H), 7.50 (d, *J* = 7.9 Hz, 2H), 7.24 (t, *J* = 7.8 Hz, 2H), 7.04 (t, *J* = 7.4 Hz, 1H), 2.28 (t, *J* = 7.5 Hz, 2H), 1.69 (q, *J* = 7.5 Hz, 2H), 0.93 (t, *J* = 7.3 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 172.0, 138.2, 128.9, 124.2, 120.1, 39.6, 19.2, 13.8.

MS:m/z 163 (M^+).

***N-phenylisobutyramide (5r)*[41]**



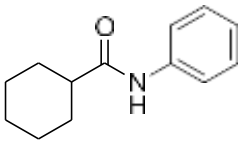
5r was obtained in 91% yield (74.30 mg) as a white solid;

^{1}H NMR (400 MHz,CDCl3) δ 8.07 (s, 1H), 7.47 (d, *J* = 8.0 Hz, 2H), 7.17 (t, *J* = 7.7 Hz, 2H), 6.98 (t, *J* = 7.4 Hz, 1H), 2.57 – 2.37 (m, 1H), 1.11 (d, *J* = 7.0 Hz, 6H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 176.1, 138.3, 128.8, 124.1, 120.2, 36.4, 19.6.

MS:m/z 163 (M^+).

N-phenylcyclohexanecarboxamide (5s)[42]



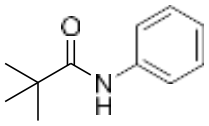
5s was obtained in 93% yield (94.50 mg) as a white solid;

^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56 (s, 1H), 7.45 (d, *J* = 8.0 Hz, 2H), 7.18 (t, *J* = 7.9 Hz, 2H), 6.97 (t, *J* = 7.4 Hz, 1H), 2.21 – 2.08 (m, 1H), 1.87 – 1.78 (m, 2H), 1.75 – 1.64 (m, 2H), 1.62 – 1.52 (m, 1H), 1.51 – 1.36 (m, 2H), 1.23 – 1.08 (m, 4H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 174.8, 138.3, 129.0, 124.1, 120.0, 46.5, 29.7, 25.8, 25.7.

MS:m/z 203 (M^+).

N-phenylpivalamide (5t)[43]



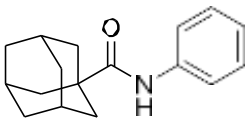
5t was obtained in 90% yield (79.80 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.55 (d, *J* = 8.7 Hz, 2H), 7.50 (s, 1H), 7.32 (t, *J* = 7.8 Hz, 2H), 7.13 – 7.07 (m, 1H), 1.33 (s, 9H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 176.7, 138.1, 128.9, 124.2, 120.2, 39.6, 27.7.

MS:m/z 177 (M^+).

(3r,5r,7r)-N-phenyladamantane-1-carboxamide (5u)[44]



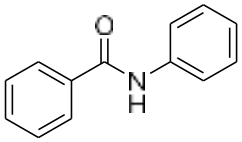
5u was obtained in 75% yield (95.80 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.54 (d, *J* = 8.0 Hz, 2H), 7.31 (t, *J* = 7.7 Hz, 3H), 7.09 (t, *J* = 7.4 Hz, 1H), 2.06 (br, 3H), 1.99 – 1.92 (m, 6H), 1.82 – 1.68 (m, 6H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 176.1, 138.2, 129.0, 124.2, 120.1, 41.6, 39.4, 36.6, 28.3.

MS:m/z 255 (M^+).

N-phenylbenzamide (5v)[26]



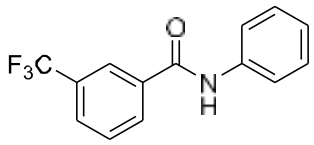
5v was obtained in 90% yield (88.70 mg) as a white solid;

^{1}H NMR (400 MHz, DMSO-d_6) δ 10.28 (s, 1H), 7.97 (d, J = 7.3 Hz, 2H), 7.81 (d, J = 8.0 Hz, 2H), 7.61 – 7.51 (m, 3H), 7.36 (t, J = 7.8 Hz, 2H), 7.11 (t, J = 7.4 Hz, 1H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 165.6, 139.2, 135.0, 131.5, 128.6, 128.4, 127.7, 123.7, 120.4.

MS:m/z 197 (M^+).

N-phenyl-3-(trifluoromethyl)benzamide (5w)[45]



5w was obtained in 84% yield (111.40 mg) as a white solid;

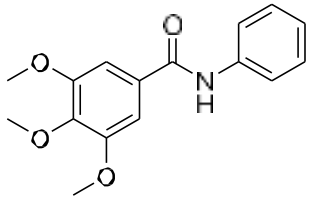
^{1}H NMR (400 MHz, DMSO-d_6) δ 10.47 (s, 1H), 8.34 – 8.21 (m, 2H), 7.95 (d, J = 7.8 Hz, 1H), 7.79 (d, J = 8.0 Hz, 3H), 7.38 (t, J = 7.8 Hz, 2H), 7.13 (t, J = 7.4 Hz, 1H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 164.0 , 138.8, 135.8, 131.8, 129.6, 129.3, 129.2 – 128.5 (m), 128.0 (d, J = 3.7 Hz), 125.3, 124.6 – 123.9 (m), 122.6 , 120.5.

^{19}F NMR (376 MHz, DMSO-d_6) δ -61.15.

MS:m/z 265 (M^+).

3,4,5-trimethoxy-N-phenylbenzamide (5x)[46]



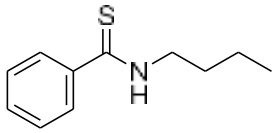
5x was obtained in 87% (124.90 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 8.39 (s, 1H), 7.62 (d, J = 8.6 Hz, 2H), 7.30 (t, J = 7.8 Hz, 2H), 7.17 – 7.07 (m, 1H), 7.04 (s, 2H), 3.85 (s, 3H), 3.78 (s, 6H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 166.0, 153.2, 141.0, 138.1, 130.4, 129.0, 124.6, 120.6, 104.6, 60.9, 56.2.

MS:m/z 287 (M^+).

N-butylbenzothioamide (5y)[47]



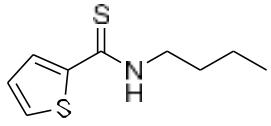
5y was obtained in 92% yield (88.90 mg) as a yellow oil;

^{1}H NMR (400 MHz, DMSO-d_6) δ 10.25 (s, 1H), 7.72 (d, J = 7.9 Hz, 2H), 7.46 (d, J = 7.1 Hz, 1H), 7.41 (t, J = 7.4 Hz, 2H), 3.69 (q, J = 6.7 Hz, 2H), 1.73 – 1.59 (m, 2H), 1.37 (q, J = 7.5 Hz, 2H), 0.92 (t, J = 7.3 Hz, 3H).

^{13}C NMR (100 MHz, DMSO-d_6) δ 197.0, 141.5, 130.4, 127.9, 127.2, 45.9, 29.3, 19.8, 13.8.

MS:m/z 193 (M^+).

N-butylthiophene-2-carbothioamide (5z)



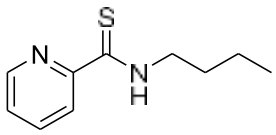
5z was obtained in 88% yield (87.70 mg) as a yellow oil;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.56 (s, 1H), 7.45 (d, *J* = 4.6 Hz, 1H), 7.42 (d, *J* = 3.7 Hz, 1H), 7.06 – 6.99 (m, 1H), 3.83 – 3.70 (m, 2H), 1.71 (t, *J* = 7.5 Hz, 2H), 1.43 (q, *J* = 7.5 Hz, 2H), 0.96 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 188.6, 146.8, 132.0, 127.8, 124.5, 46.3, 30.4, 20.4, 13.9.

HRMS (ESI) m/z calcd. for $C_9H_{13}NS_2$ $[M+H]^+$: 200.0562, found 200.0571.

***N-butylpyridine-2-carbothioamide (5aa)*[48]**



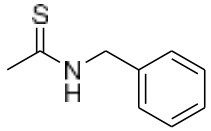
5aa was obtained in 91% yield (88.40 mg) as a yellow oil;

^{1}H NMR (400 MHz,$CDCl_3$) δ 10.12 (s, 1H), 8.63 (d, *J* = 6.9 Hz, 1H), 8.41 (d, *J* = 3.4 Hz, 1H), 7.74 (t, *J* = 7.7 Hz, 1H), 7.42 – 7.29 (m, 1H), 3.80 (q, *J* = 6.6 Hz, 2H), 1.75 – 1.61 (m, 2H), 1.41 (q, *J* = 7.5 Hz, 2H), 0.92 (t, *J* = 7.4 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 190.3, 151.0, 146.8, 137.1, 125.8, 124.6, 45.4, 30.0, 20.3, 13.7.

MS:m/z 194 (M^+).

***N-benzylethanethioamide (5ab)*[49]**



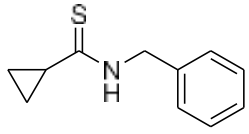
5ab was obtained in 90% yield (74.40mg) as a yellow solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.85 (s, 1H), 7.35 (d, *J* = 7.0 Hz, 5H), 4.80 (d, *J* = 5.4 Hz, 2H), 2.55 (s, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 200.9, 136.0, 128.9, 128.3, 128.1, 50.4, 33.9.

MS:m/z 165 (M^+).

***N-benzylcyclopropanecarbothioamide (5ac)*[50]**



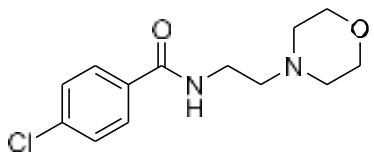
5ac was obtained in 90% yield (86.10 mg) as a yellow solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.65 (s, 1H), 7.13 (d, *J* = 7.5 Hz, 5H), 4.62 (d, *J* = 5.3 Hz, 2H), 1.65 (s, 1H), 1.13 – 1.06 (m, 2H), 0.72 (m, 2H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 207.1, 136.2, 128.8, 128.2, 127.9, 50.1, 24.3, 12.1.

MS:m/z 191 (M^+).

***Manefix*[51]**



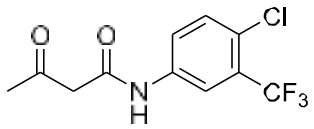
Manefix was obtained in 87% yield (116.90 mg) as a white solid;

^{1}H NMR (400 MHz,$CDCl_3$) δ 7.69 (d, *J* = 8.2 Hz, 2H), 7.37 (d, *J* = 8.3 Hz, 2H), 6.90 (s, 1H), 3.70 (t, *J* = 4.6 Hz, 4H), 3.52 (q, *J* = 5.6 Hz, 2H), 2.61 (t, *J* = 6.0 Hz, 2H), 2.51 (t, *J* = 4.6 Hz, 4H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 166.3, 137.2, 132.7, 128.5, 128.3, 66.7, 56.8, 53.2, 36.2.

MS:m/z 268 (M^+).

***Fasentin*[52]**



Fasentin was obtained in 82% yield (114.60 mg) as a white oil;

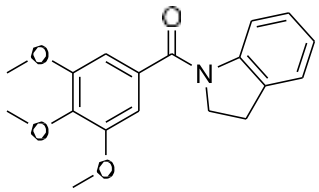
^{1}H NMR (400 MHz,$CDCl_3$) δ 7.23 (d, *J* = 8.8 Hz, 1H), 6.84 (s, 1H), 6.62 (d, *J* = 7.9 Hz, 1H), 3.78 (s, 1H), 3.14 (q, *J* = 7.1 Hz, 2H), 1.26 (t, *J* = 7.2 Hz, 3H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 146.9, 132.0, 118.6, 116.2, 112.8 - 111.0 (m), 38.5, 14.6 .

^{19}F NMR (376 MHz,$CDCl_3$) δ -62.73.

MS:m/z 279 (M^+).

***Tubulin inhibitor*[53]**



Tubulin inhibitor was obtained in 71% yield (111.30 mg) as a white solid;

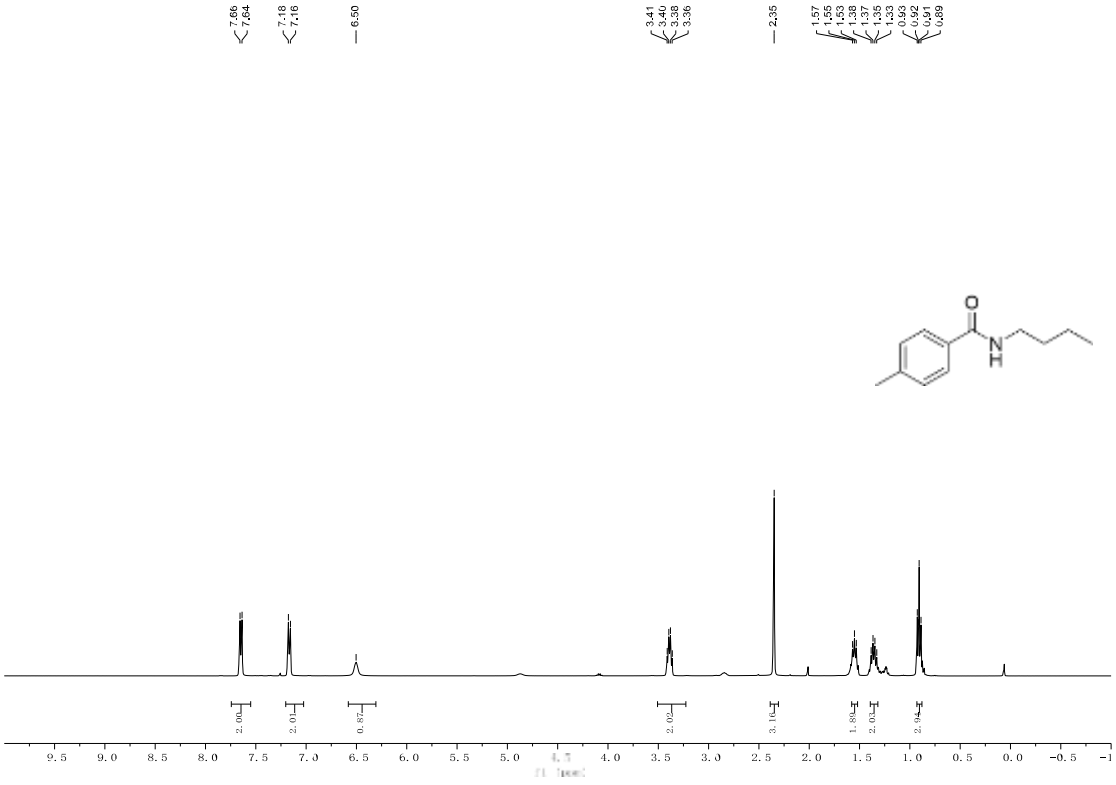
^{1}H NMR (400 MHz,$CDCl_3$) δ 7.91 (1H), δ 7.20 (d, *J* = 7.4 Hz, 1H), 7.11 (s, 1H), 7.00 (t, *J* = 7.3 Hz, 1H), 6.77 (s, 2H), 4.17 – 4.05 (m, 2H), 3.88 (s, 5H), 3.84 (s, 6H), 3.11 (t, *J* = 8.3 Hz, 2H).

^{13}C NMR (100 MHz,$CDCl_3$) δ 168.6, 153.4, 142.5, 139.7, 132.5, 132.2, 127.3, 125.0, 124.0, 116.7 104.5, 61.0, 56.3, 50.6, 28.1.

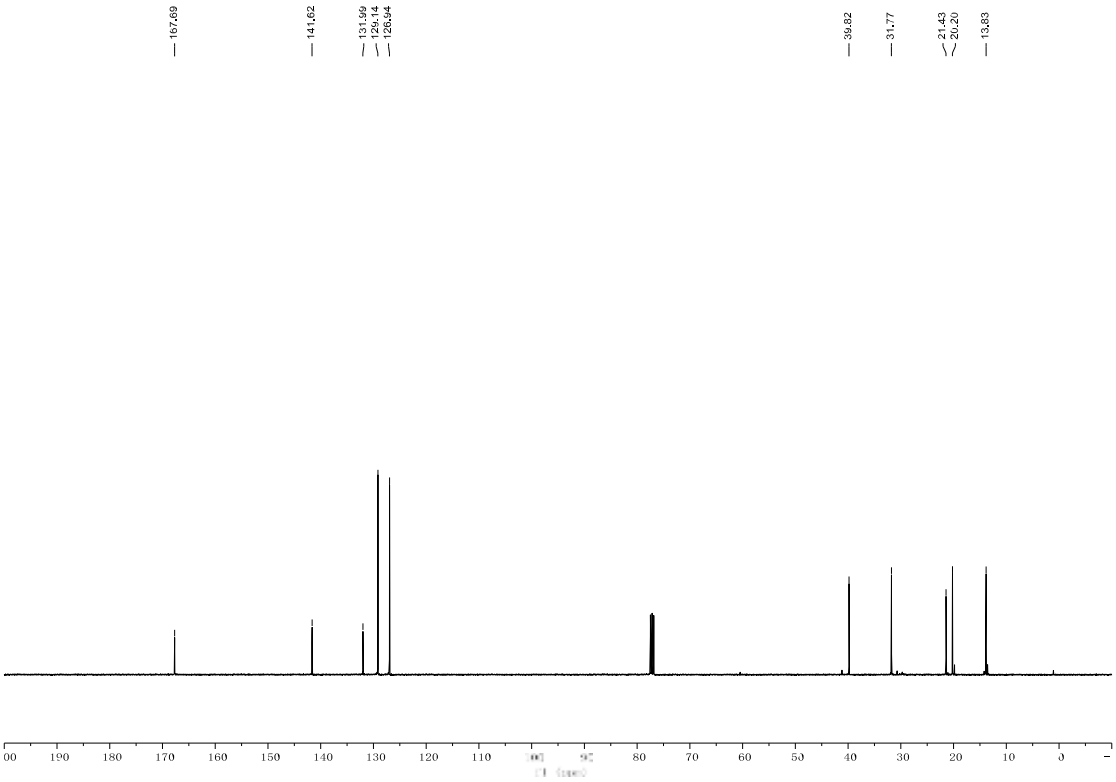
MS:m/z 313 (M^+).

5. ^{1}H, ^{13}C and ^{19}F NMR spectra of products

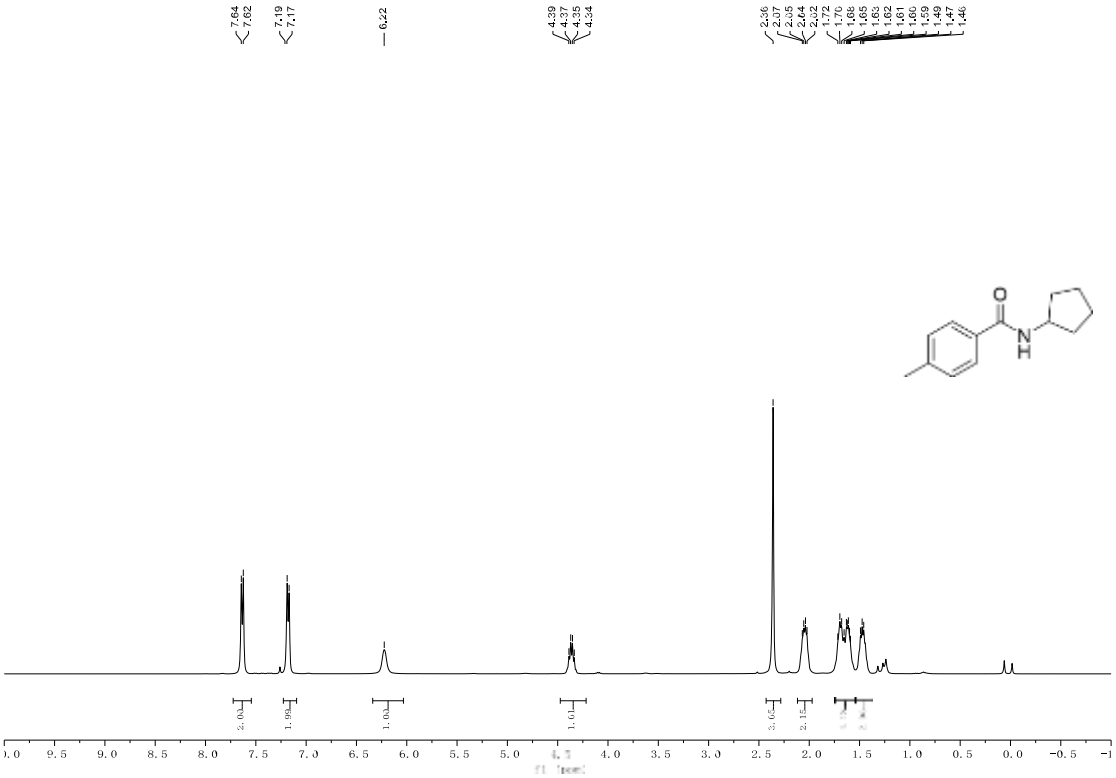
^{1}H NMR spectra for **3a**



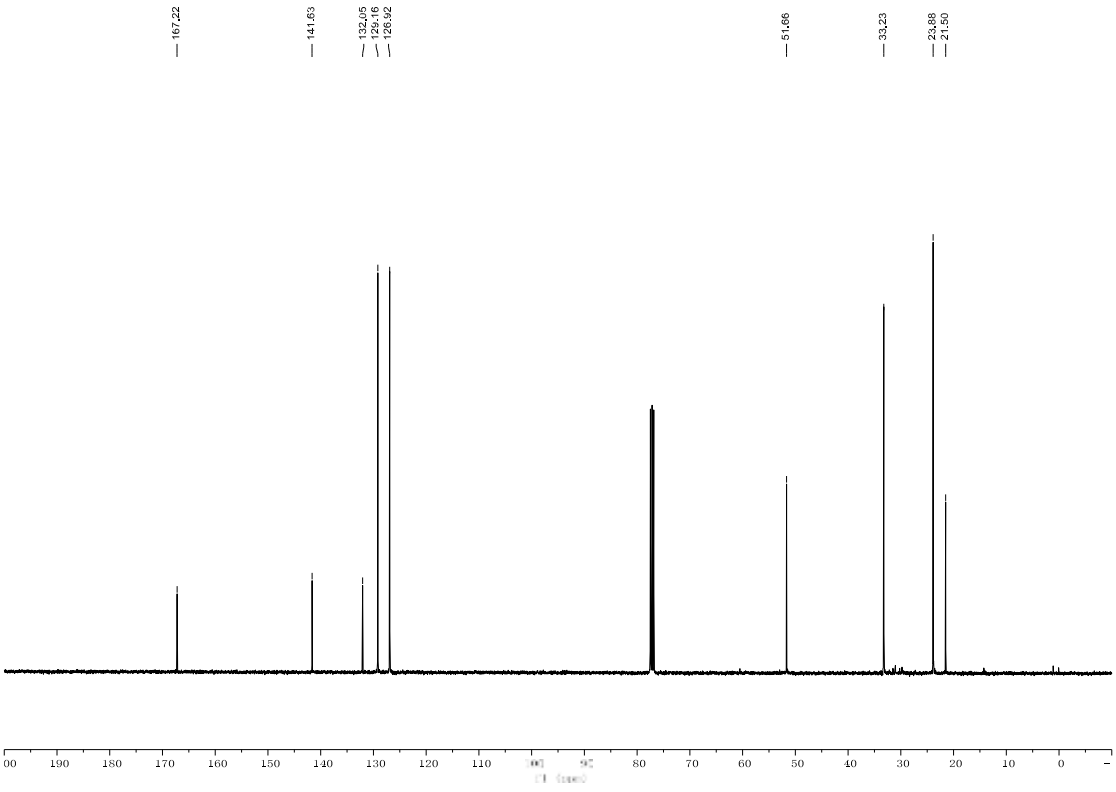
^{13}C NMR spectra for **3a**



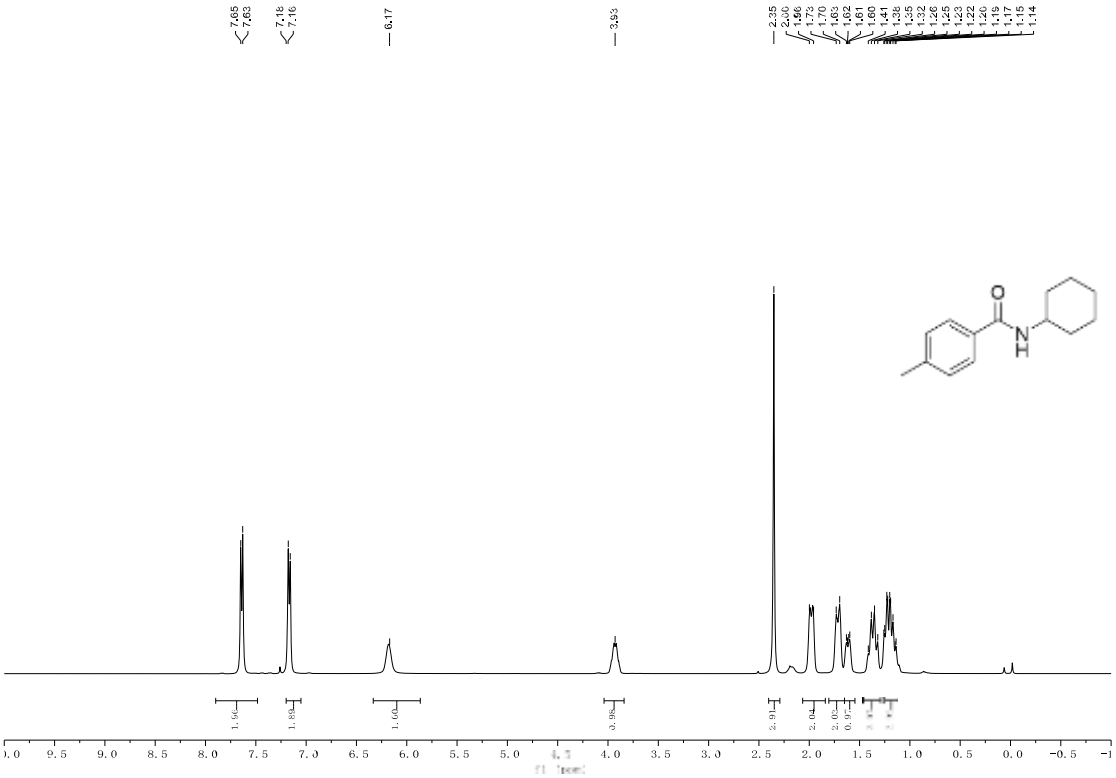
^{1}H NMR spectra for **3b**



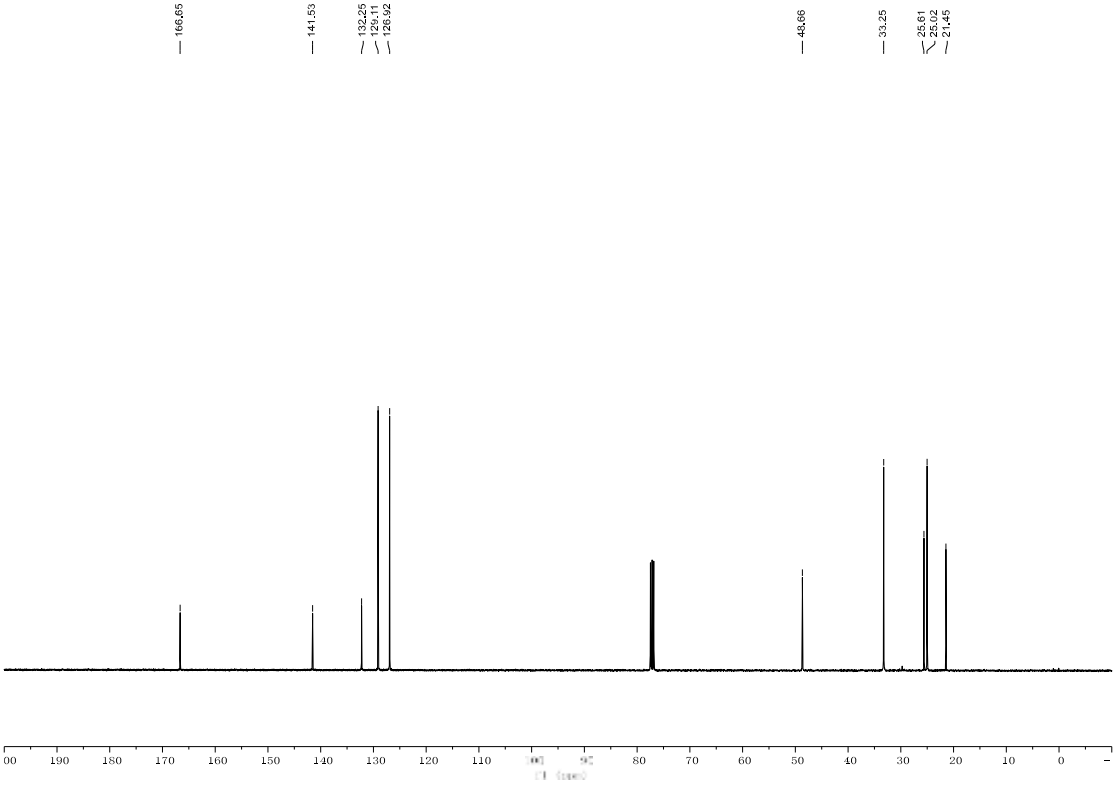
^{13}C NMR spectra for **3b**



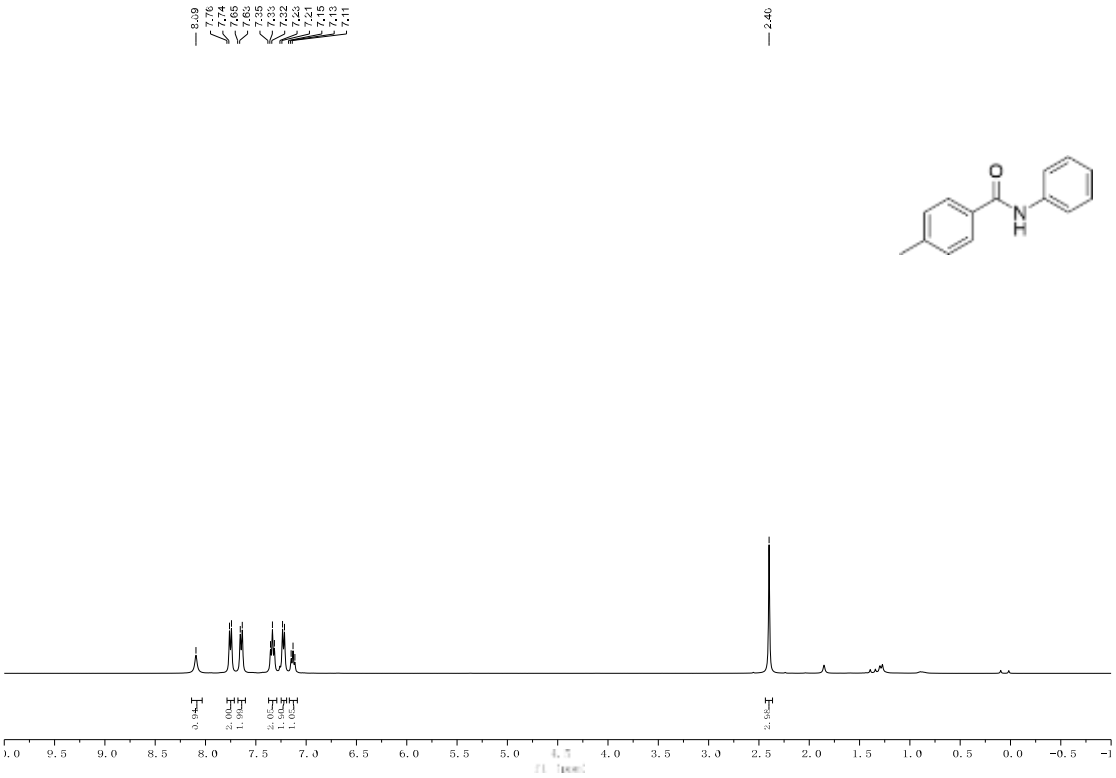
^{1}H NMR spectra for **3c**



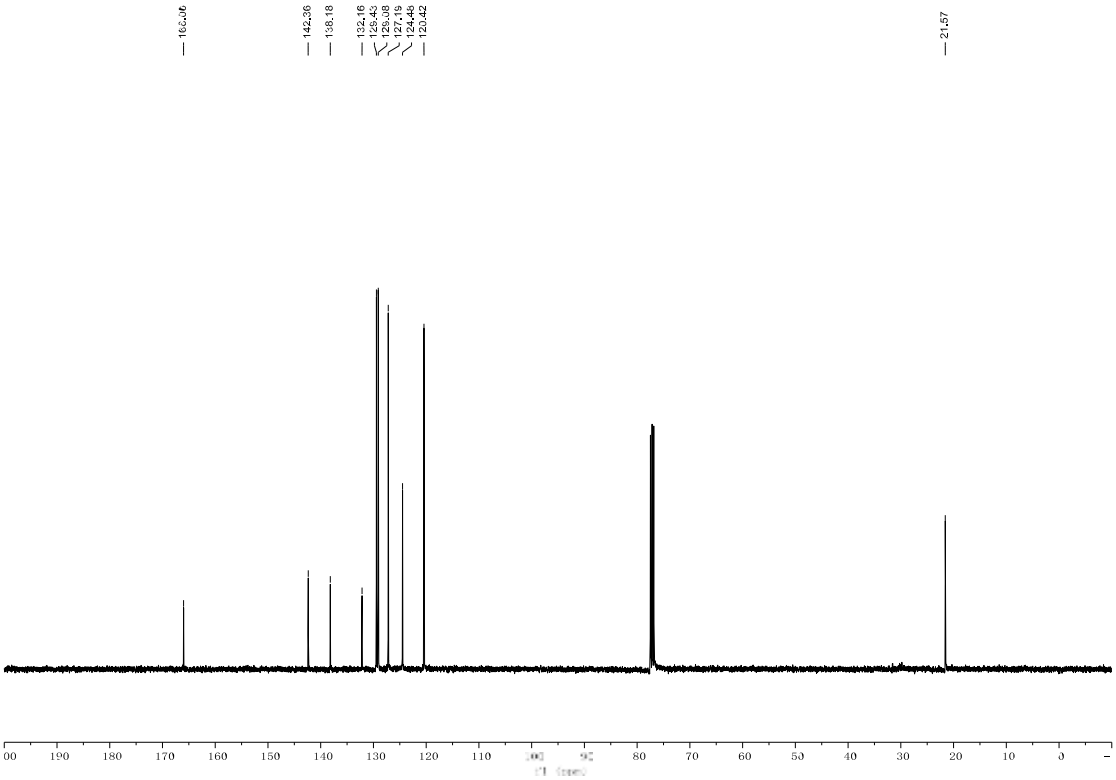
^{13}C NMR spectra for **3c**



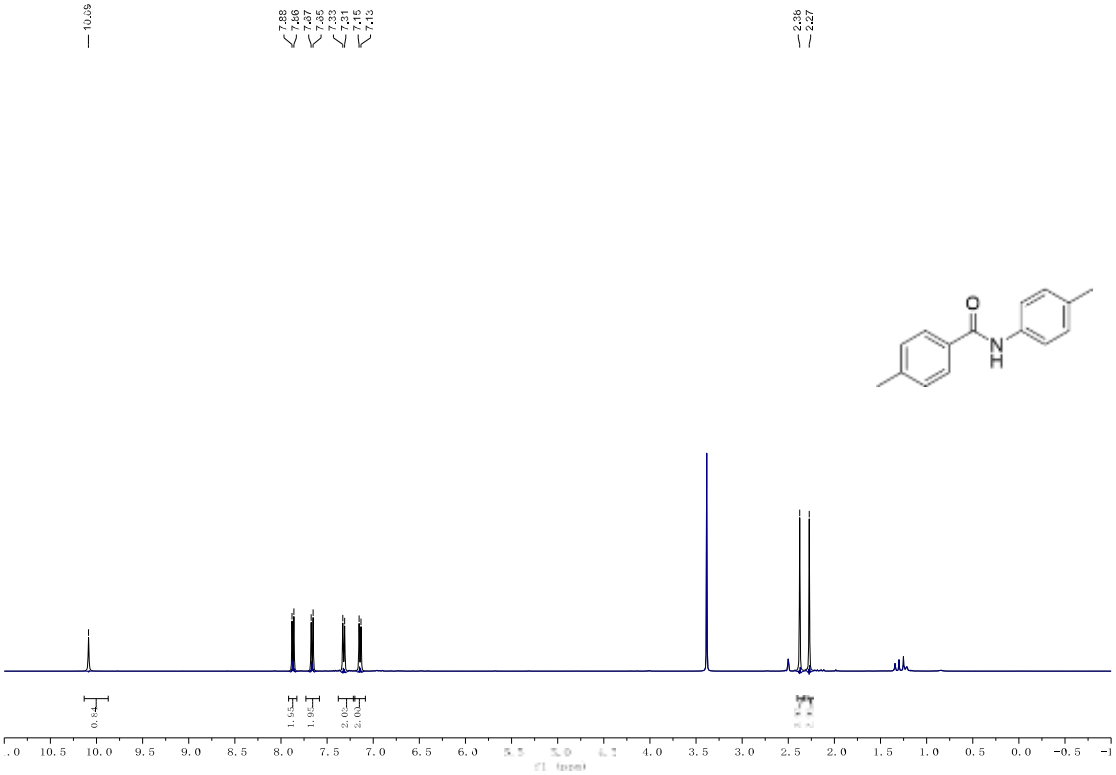
^{1}H NMR spectra for **3d**



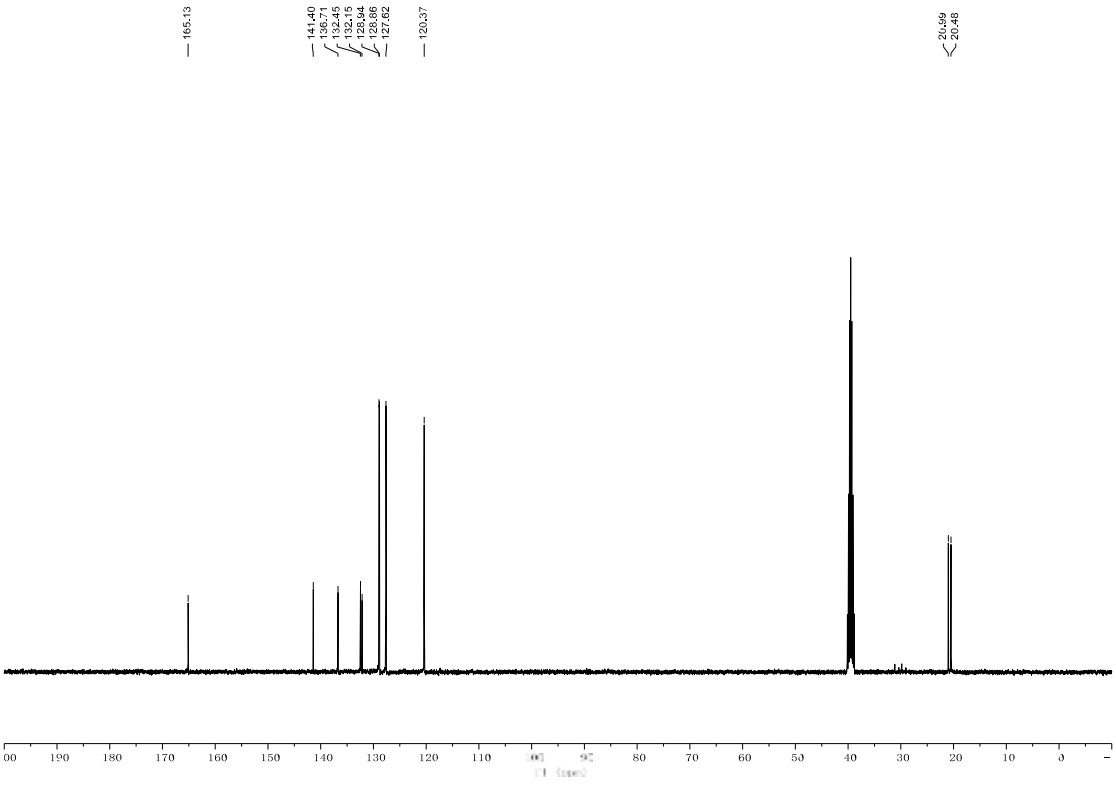
^{13}C NMR spectra for **3d**



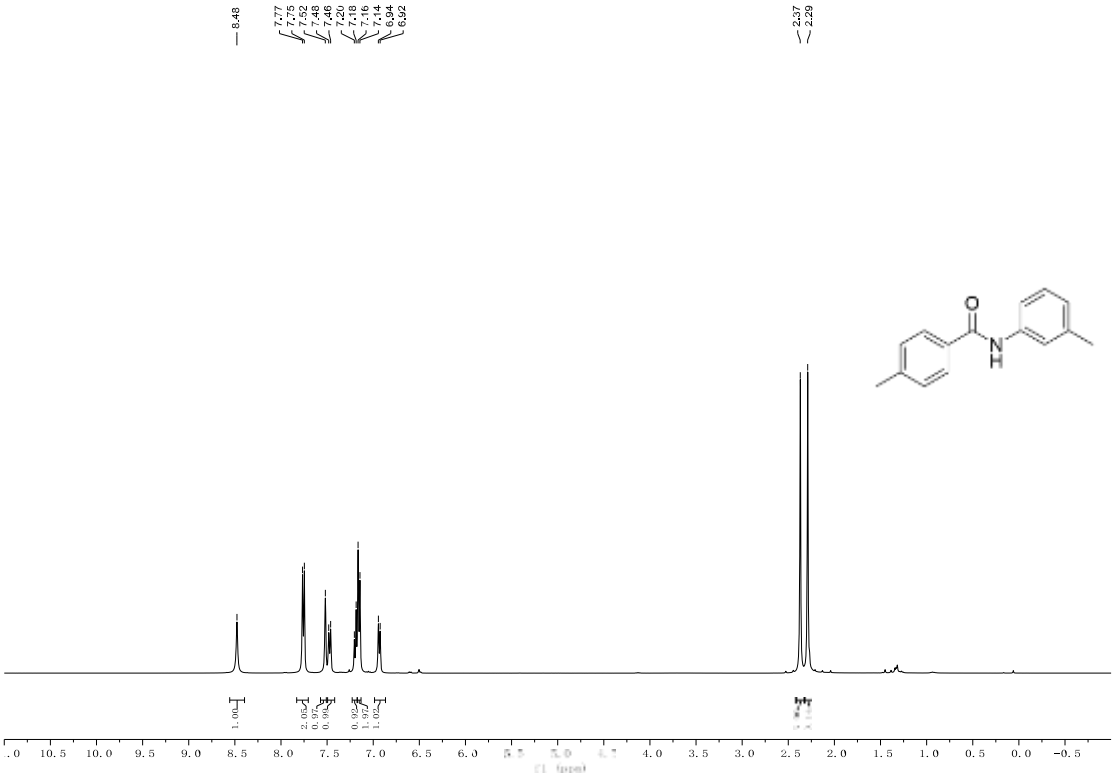
^{1}H NMR spectra for **3e**



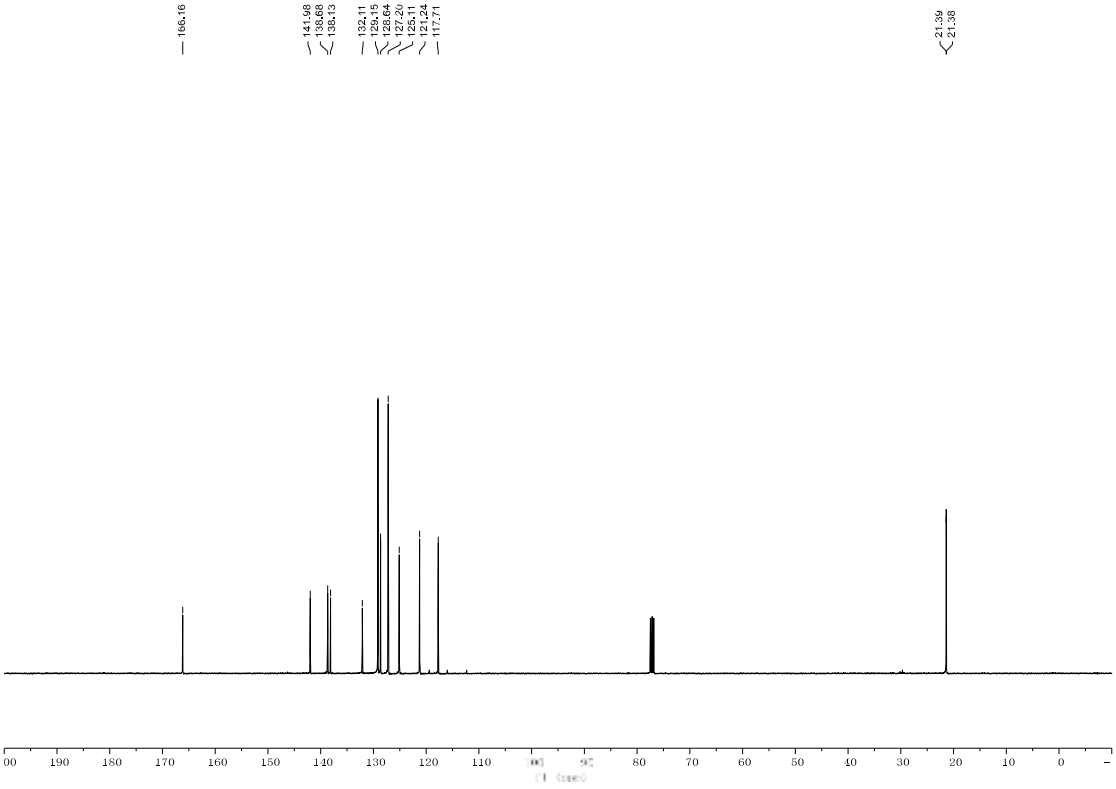
^{13}C NMR spectra for **3e**



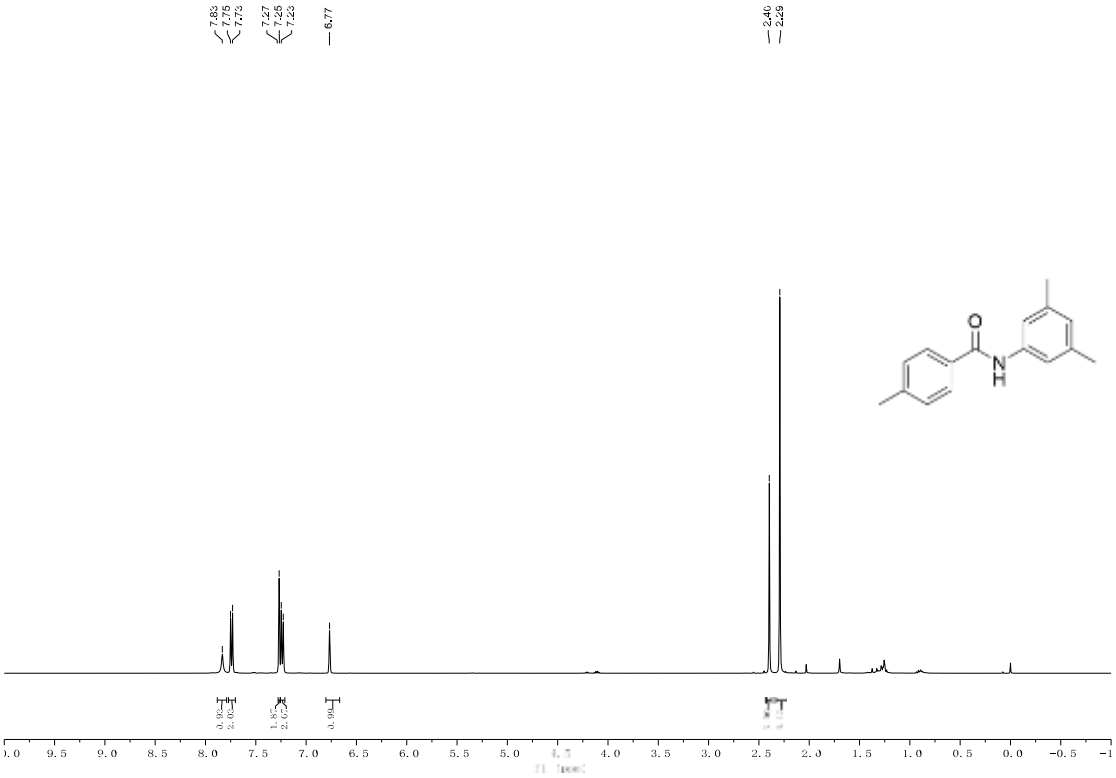
^{1}H NMR spectra for **3f**



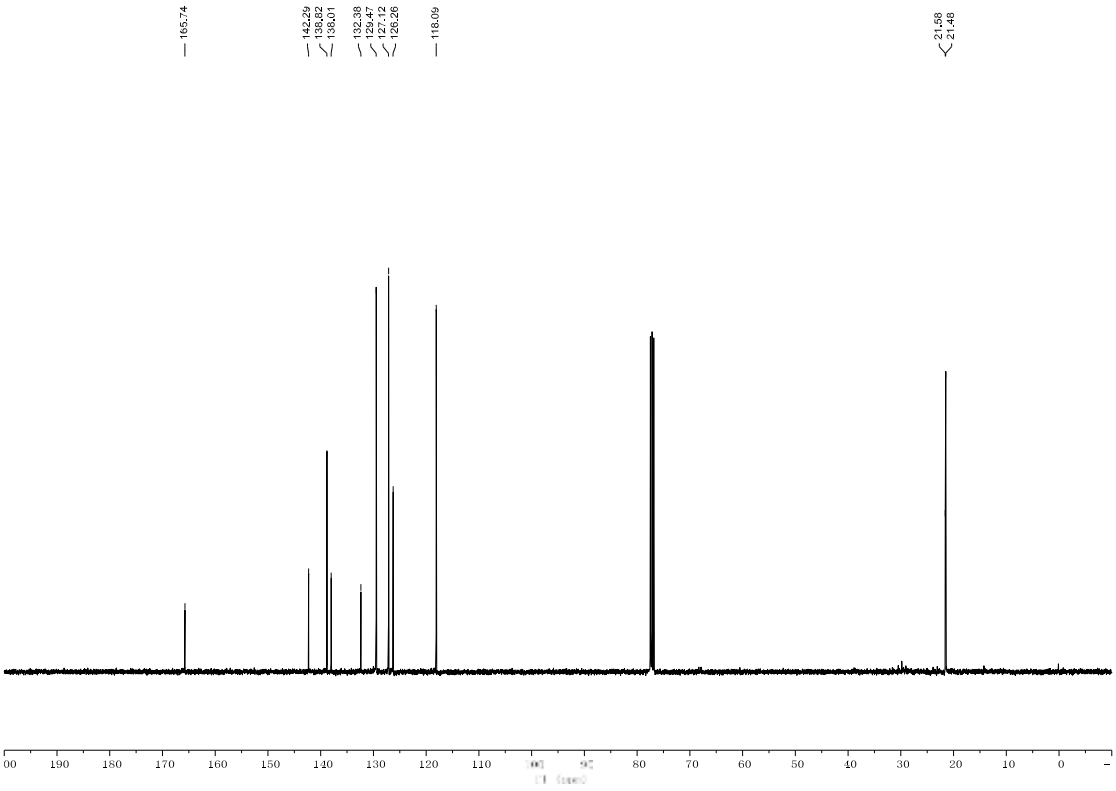
^{13}C NMR spectra for **3f**



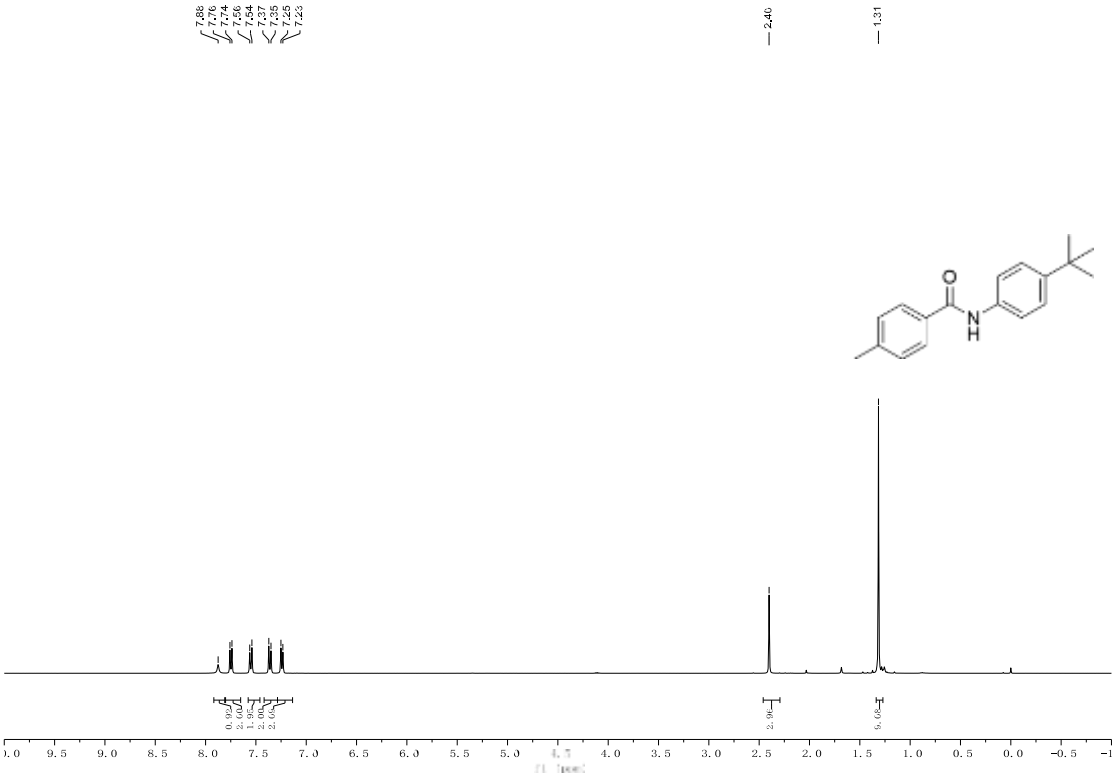
^{1}H NMR spectra for **3g**



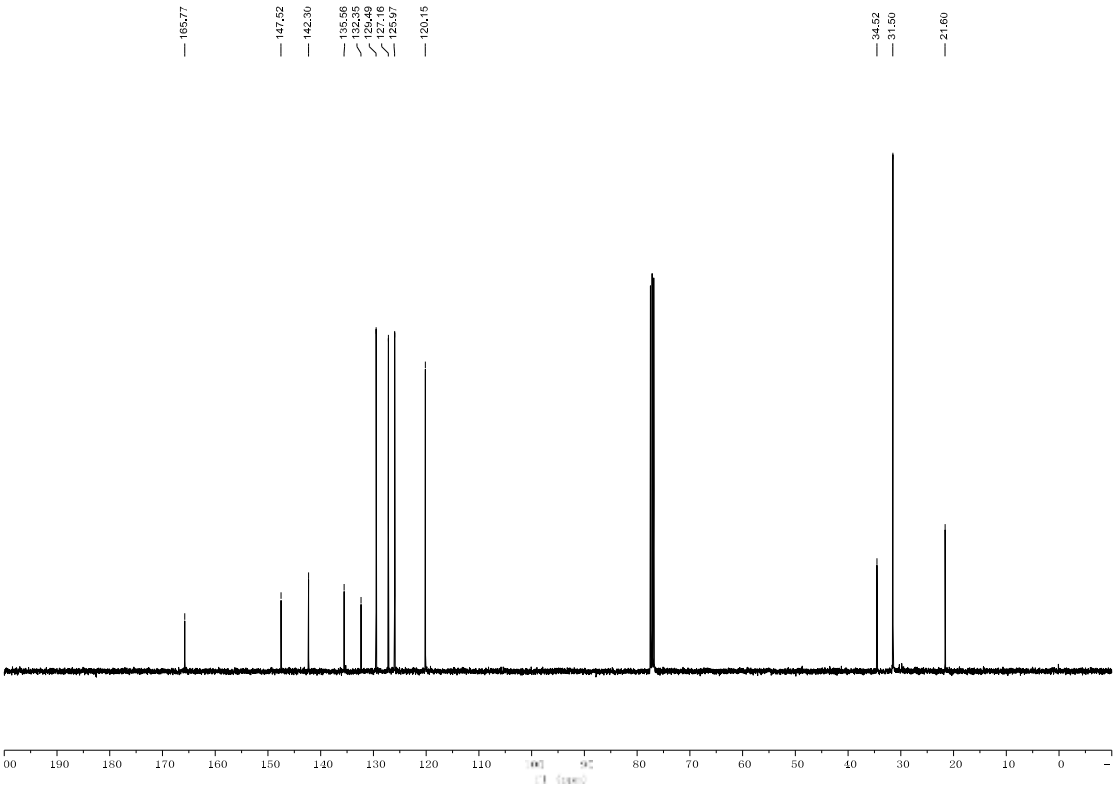
^{13}C NMR spectra for **3g**



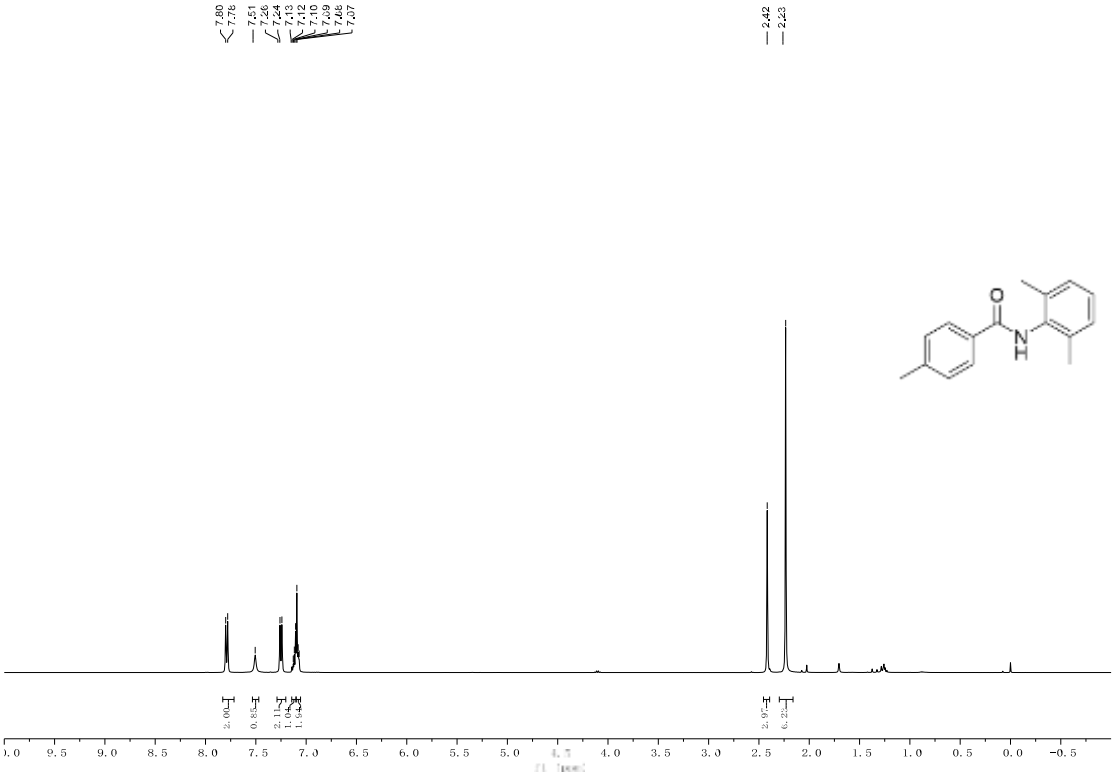
^{1}H NMR spectra for **3h**



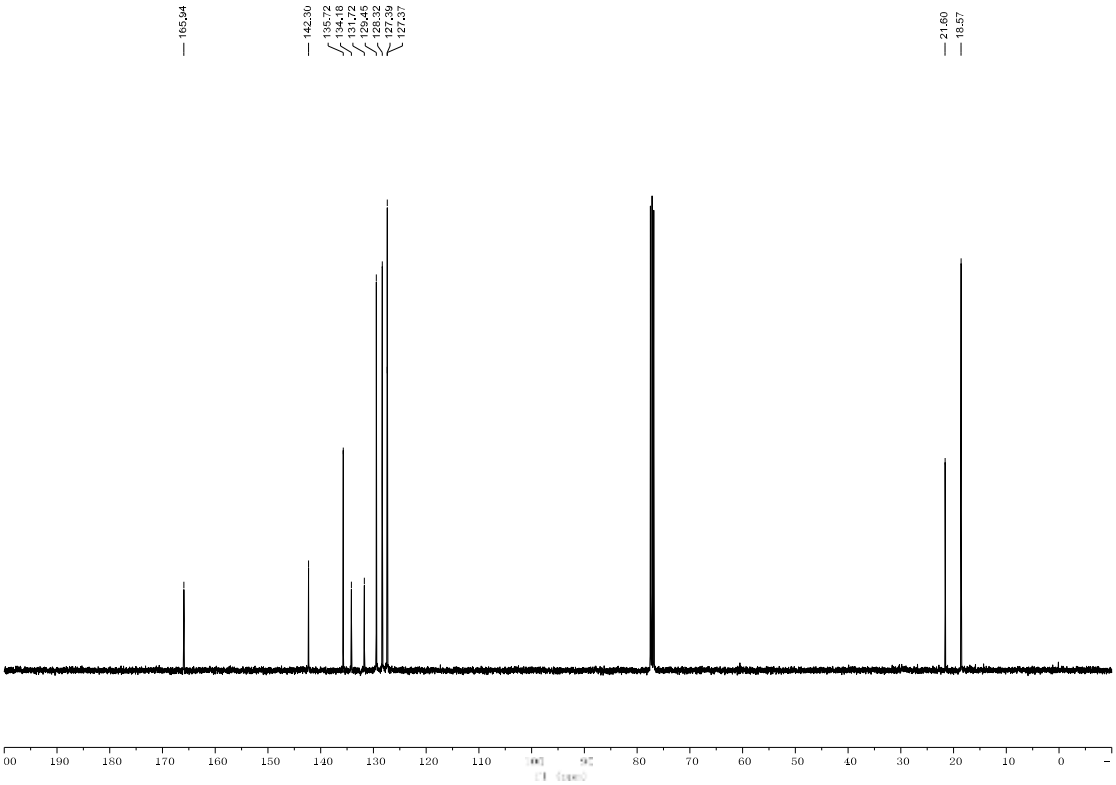
^{13}C NMR spectra for **3h**



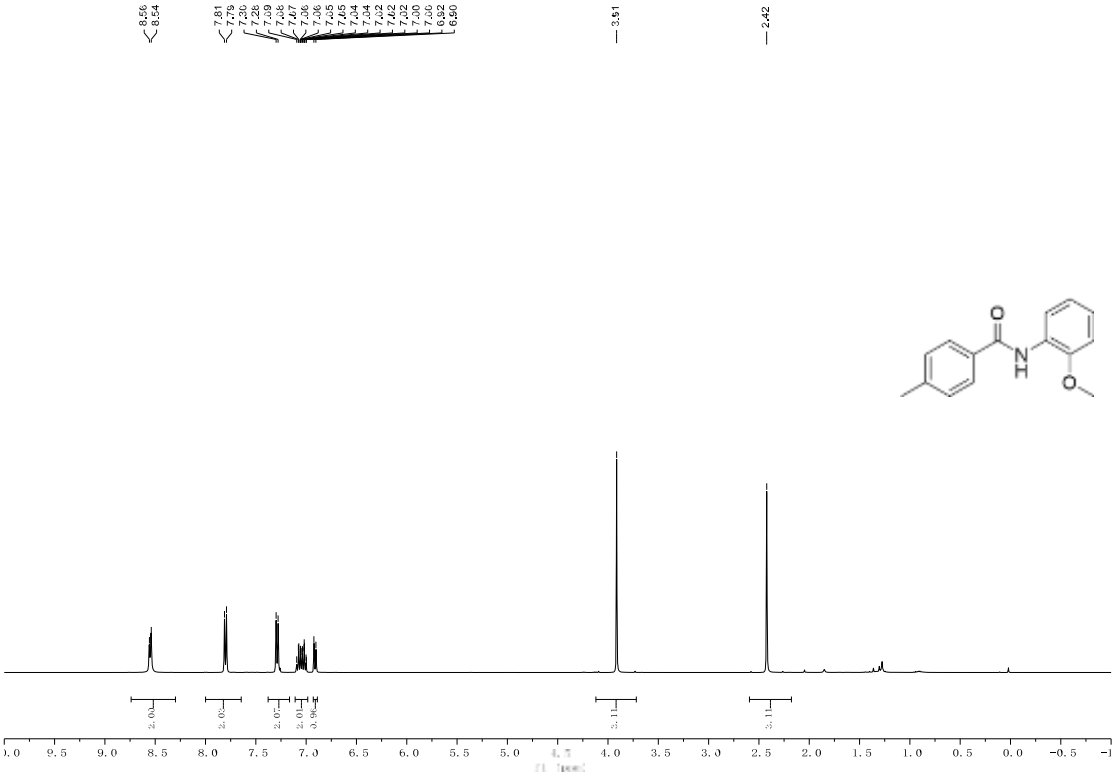
^{1}H NMR spectra for **3i**



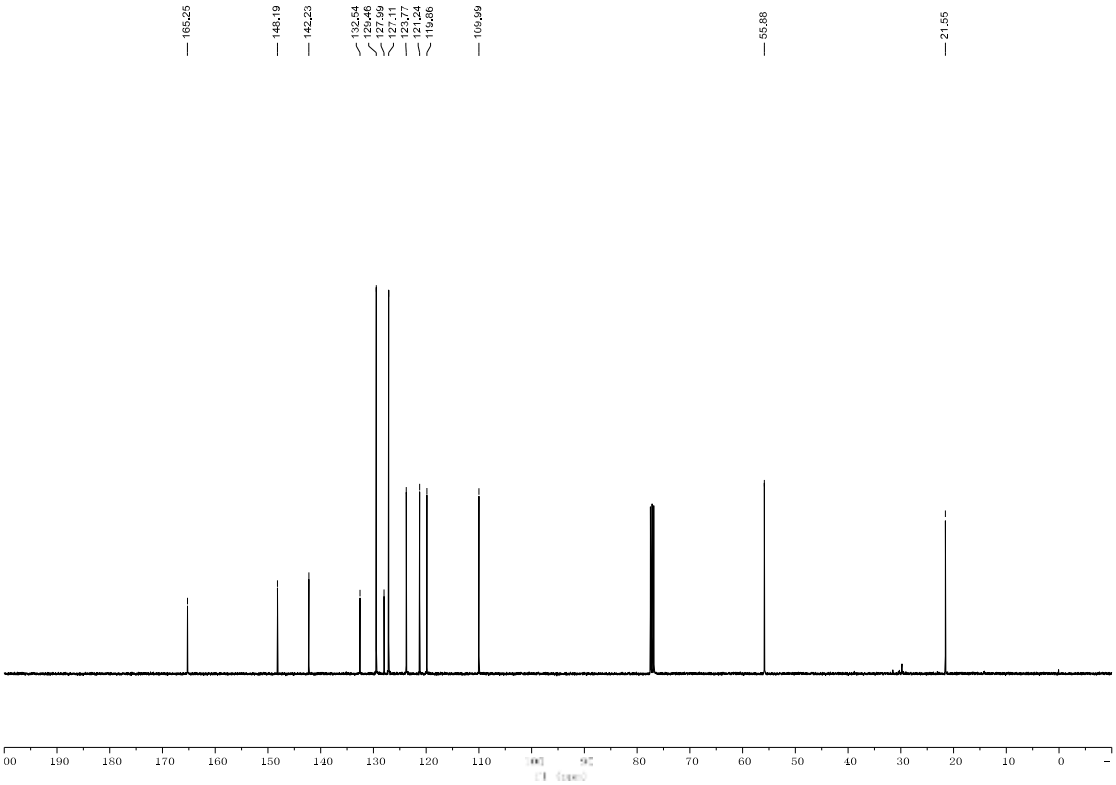
^{13}C NMR spectra for **3i**



^{1}H NMR spectra for **3j**

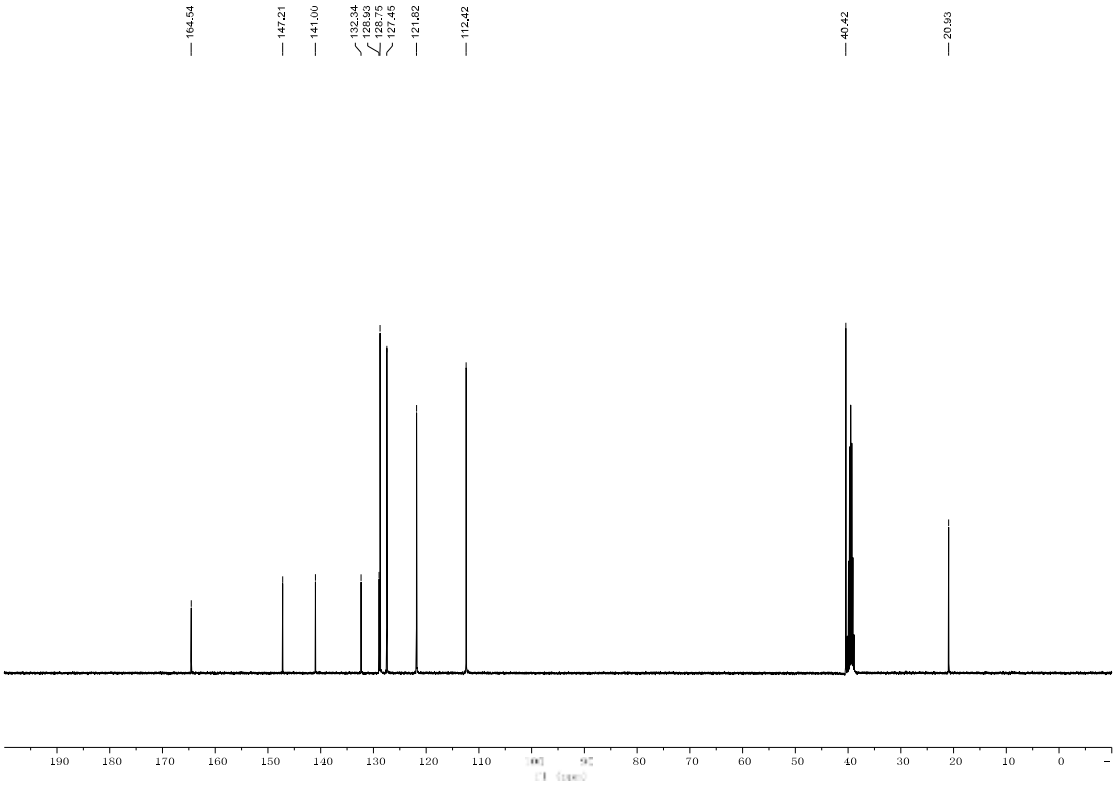


^{13}C NMR spectra for **3j**

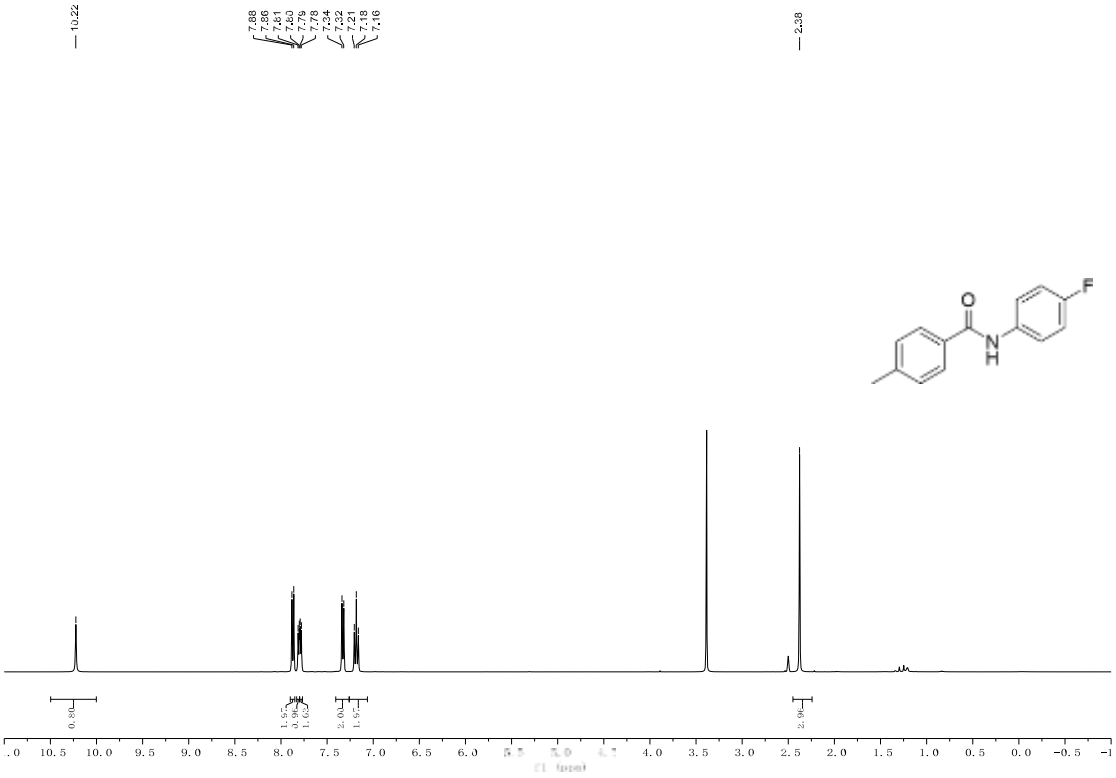


^{1}H NMR spectra for **3k**

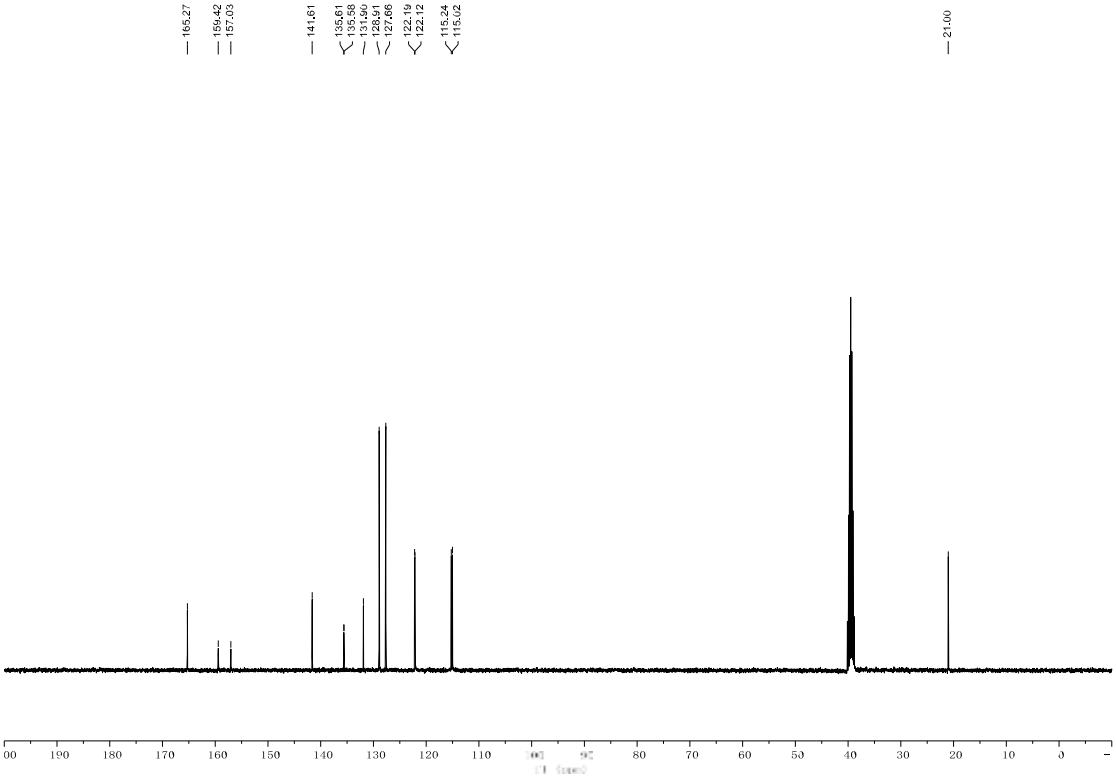
^{13}C NMR spectra for **3k**



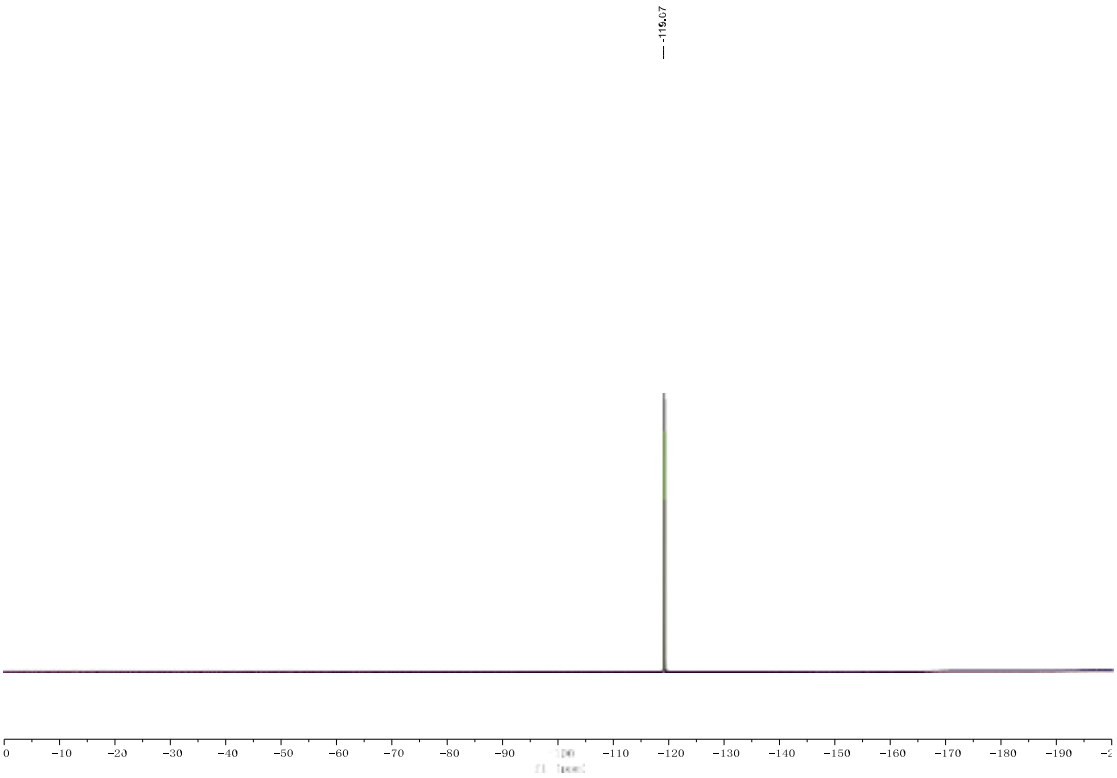
^{1}H NMR spectra for **3l**



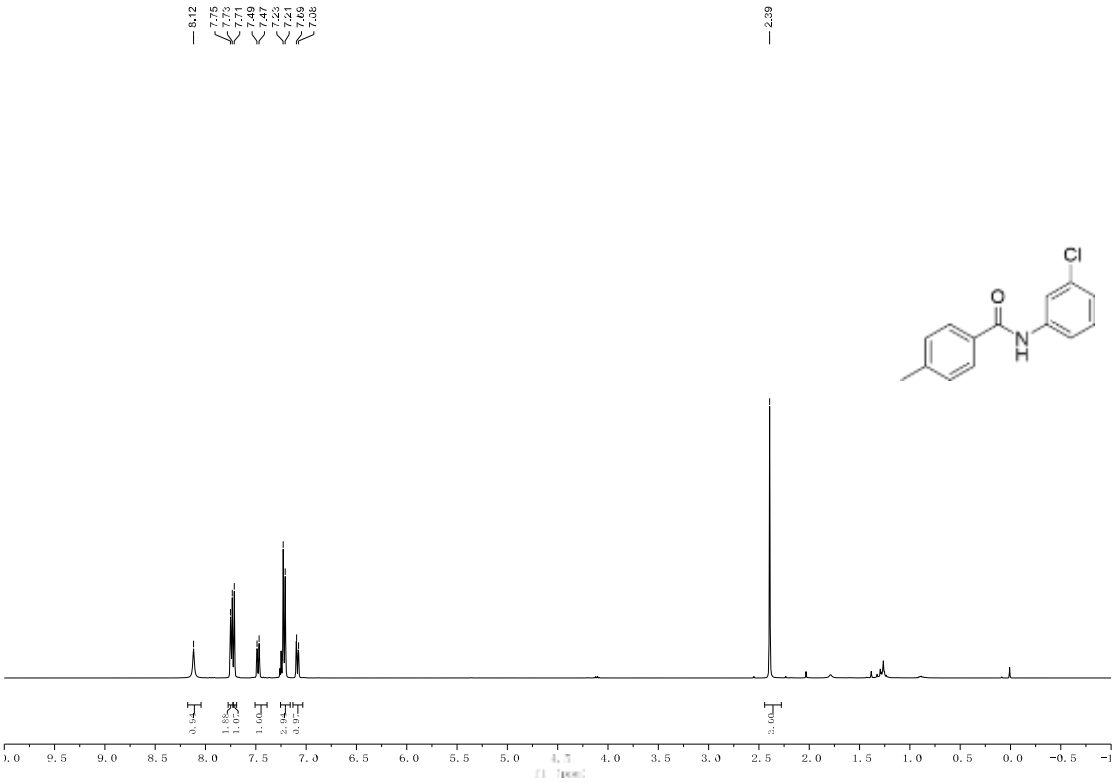
^{13}C NMR spectra for **3l**



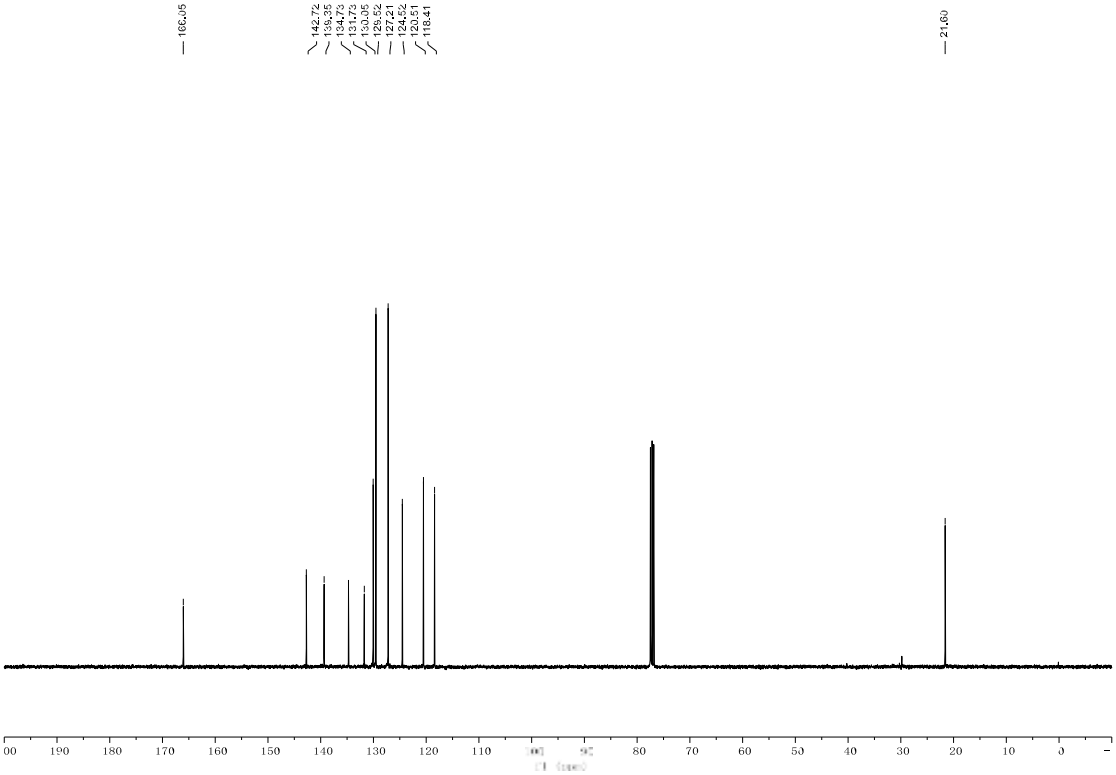
^{19}F NMR spectra for **3l**



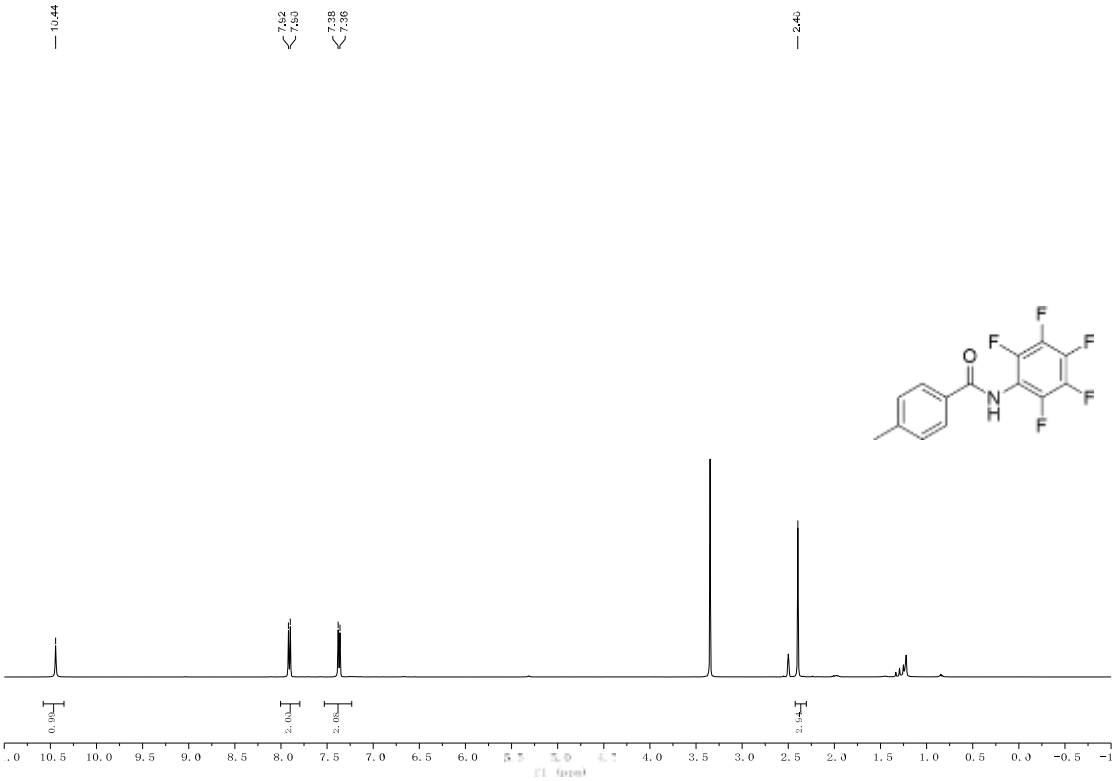
^{1}H NMR spectra for **3m**



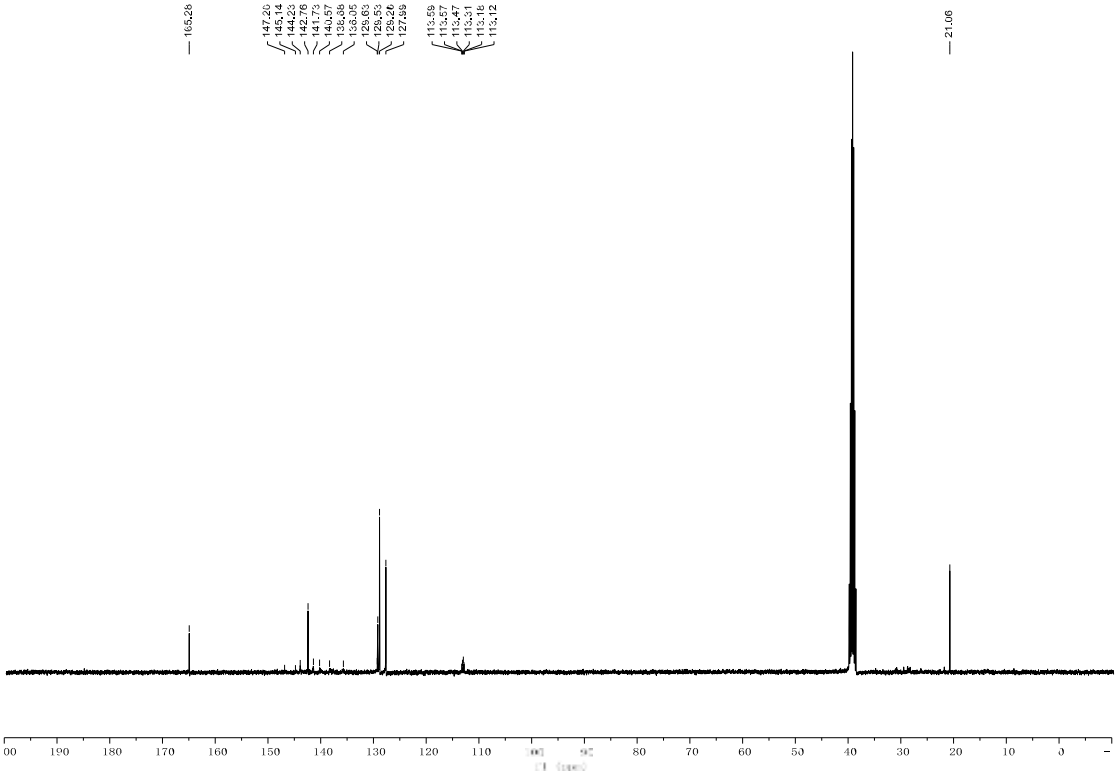
^{13}C NMR spectra for **3m**



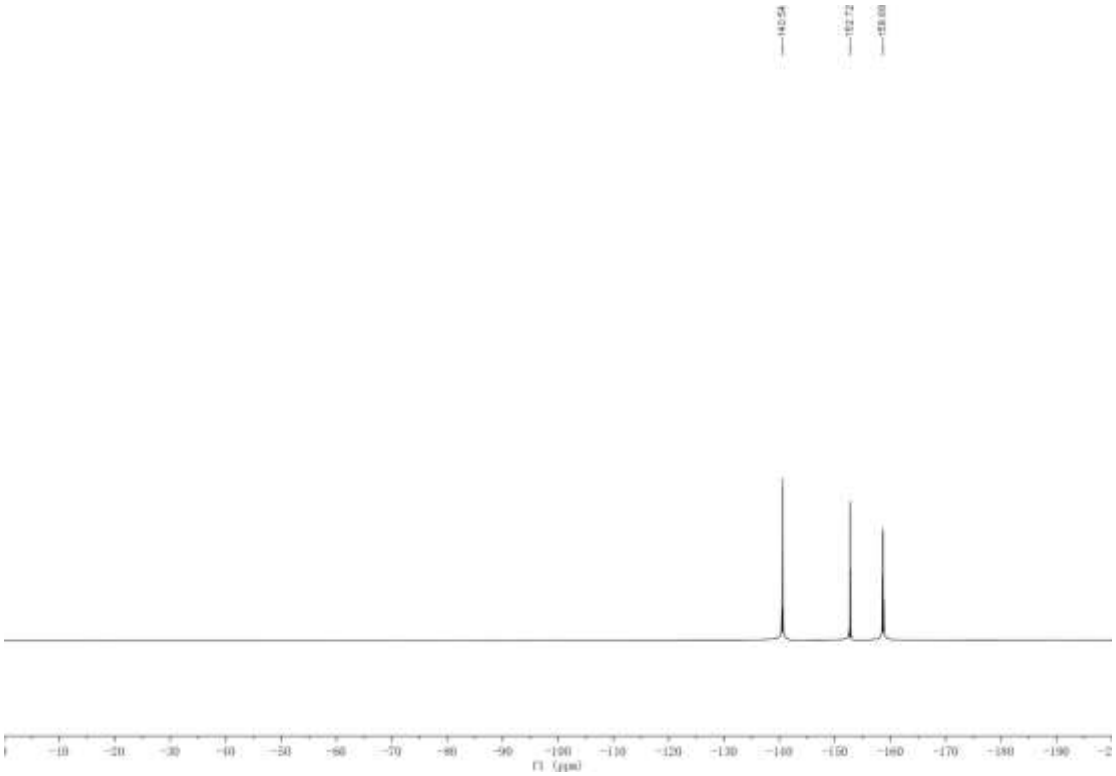
^{1}H NMR spectra for **3n**



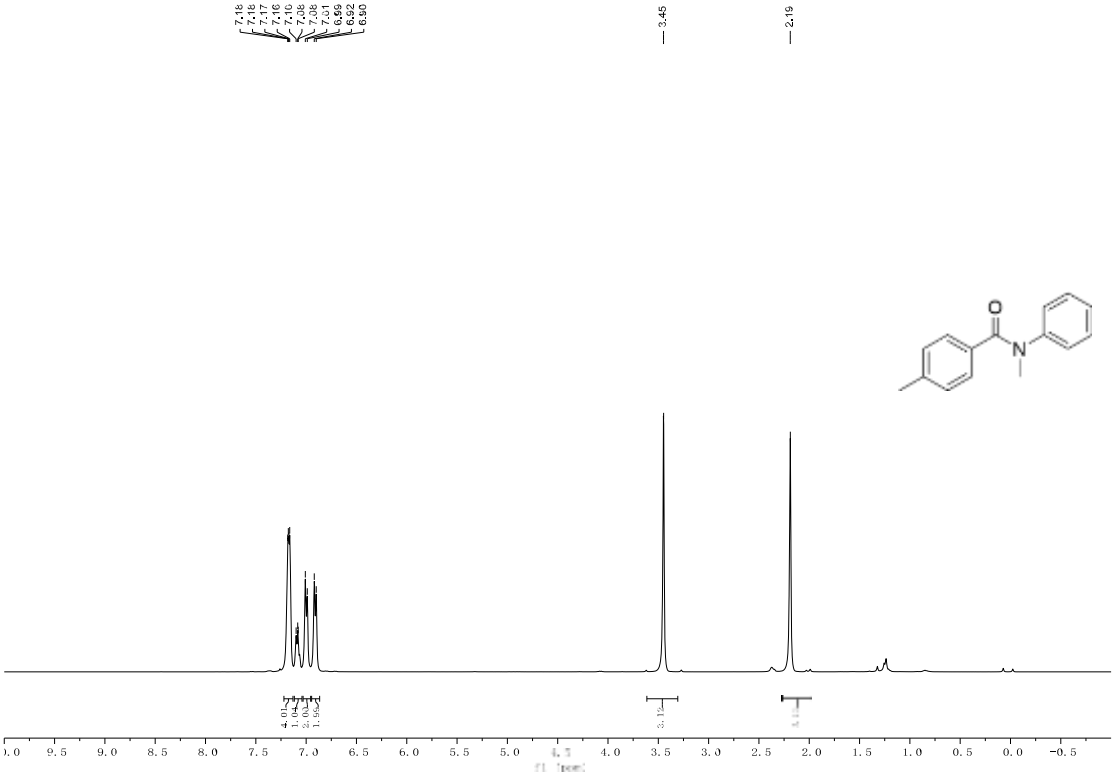
^{13}C NMR spectra for **3n**



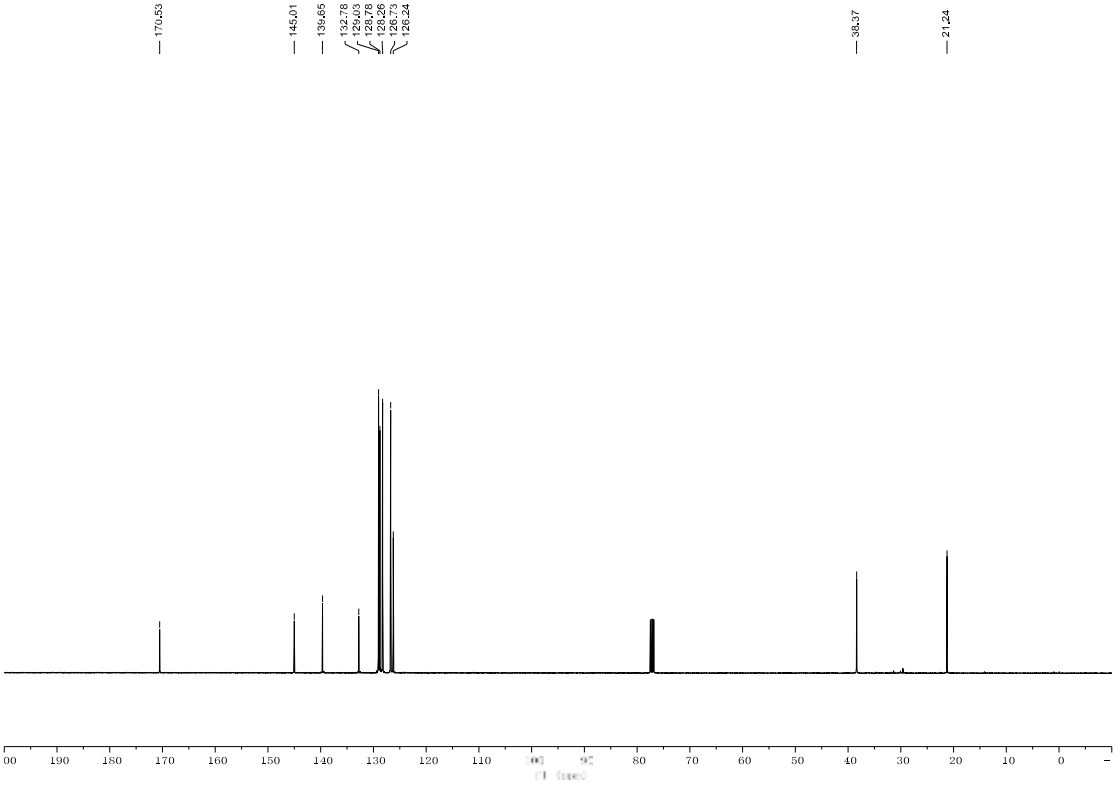
^{19}F NMR spectra for **3n**



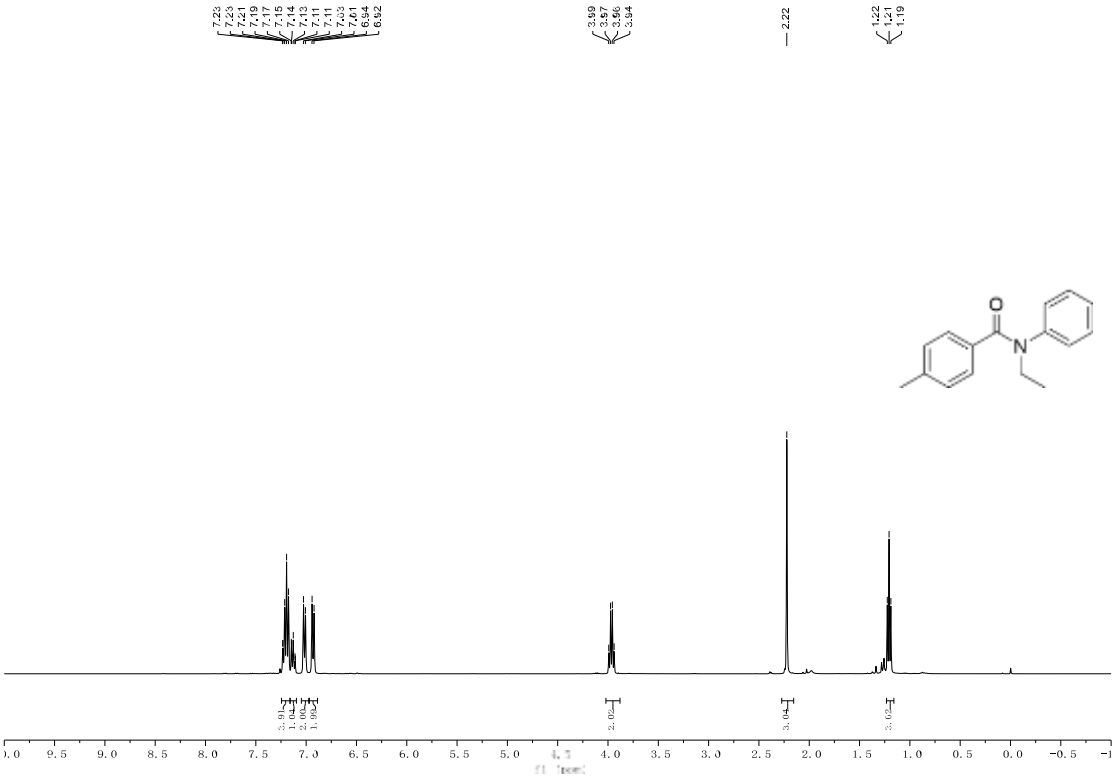
^{1}H NMR spectra for **3o**



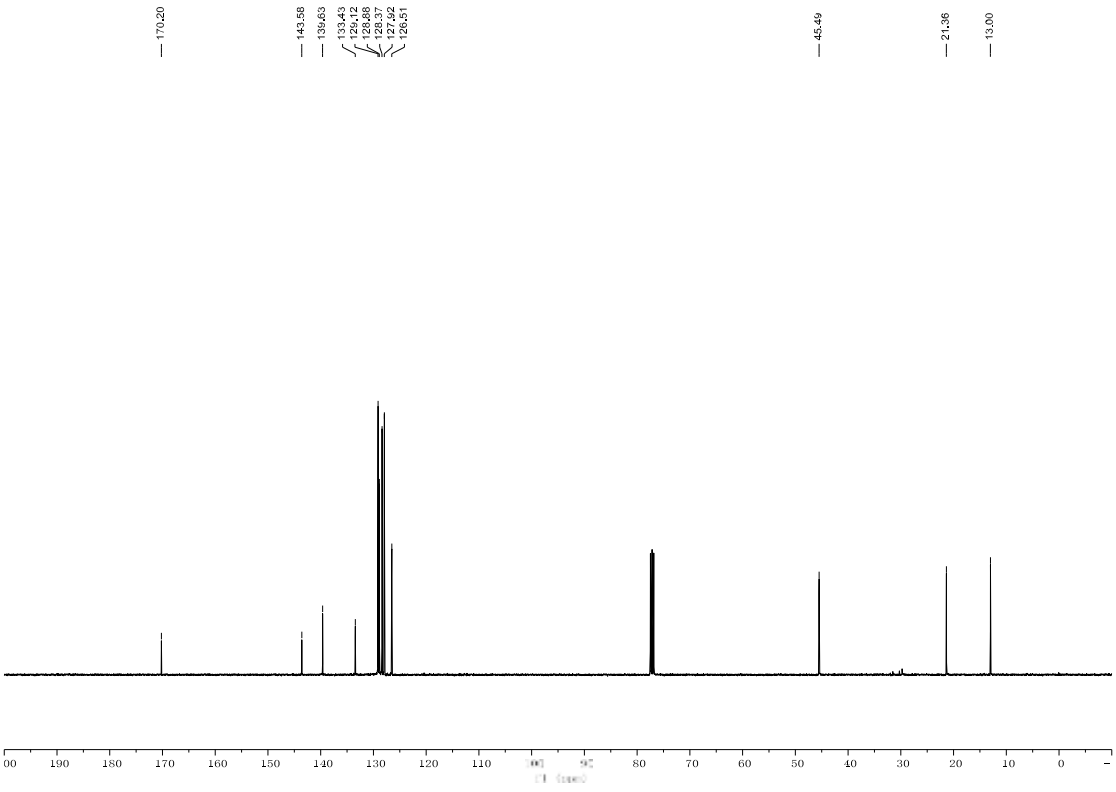
^{13}C NMR spectra for **3o**



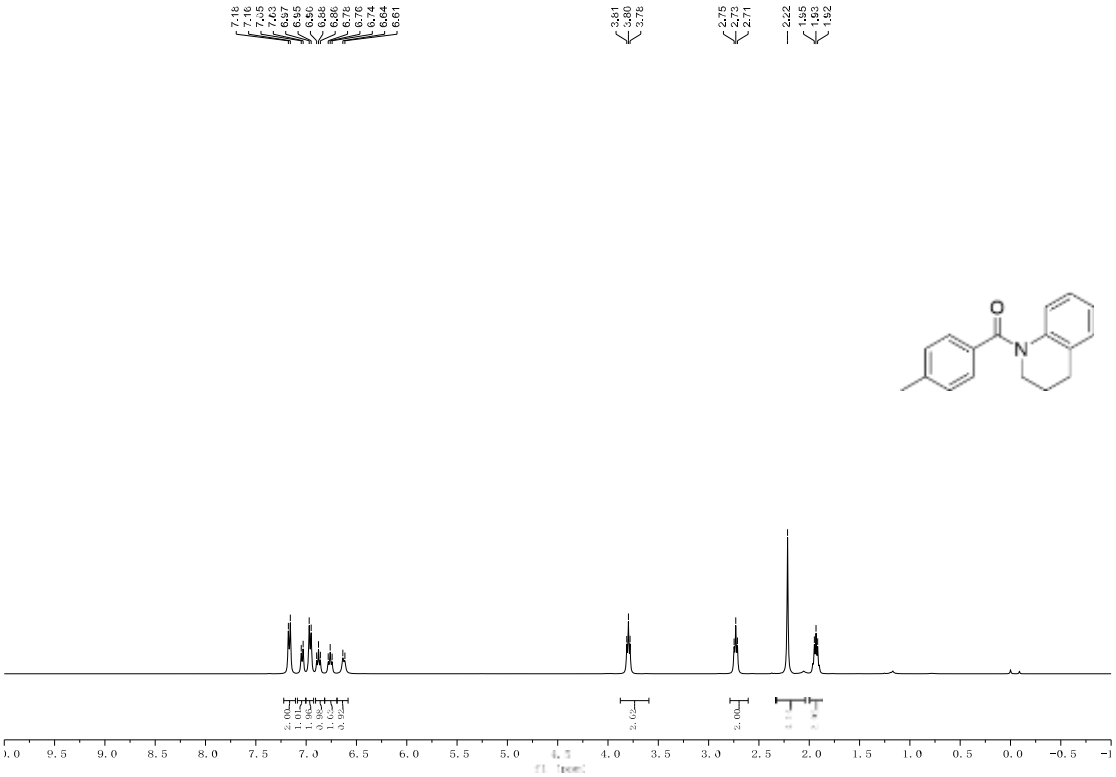
^{1}H NMR spectra for **3p**



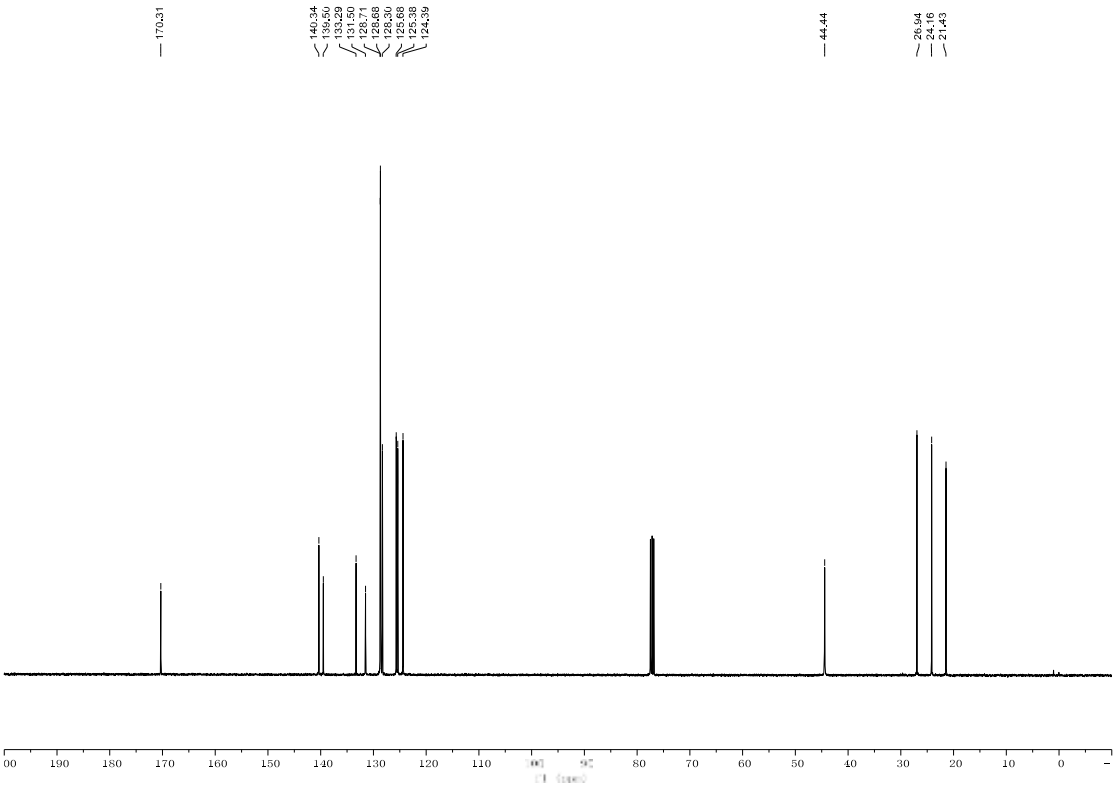
^{13}C NMR spectra for **3p**



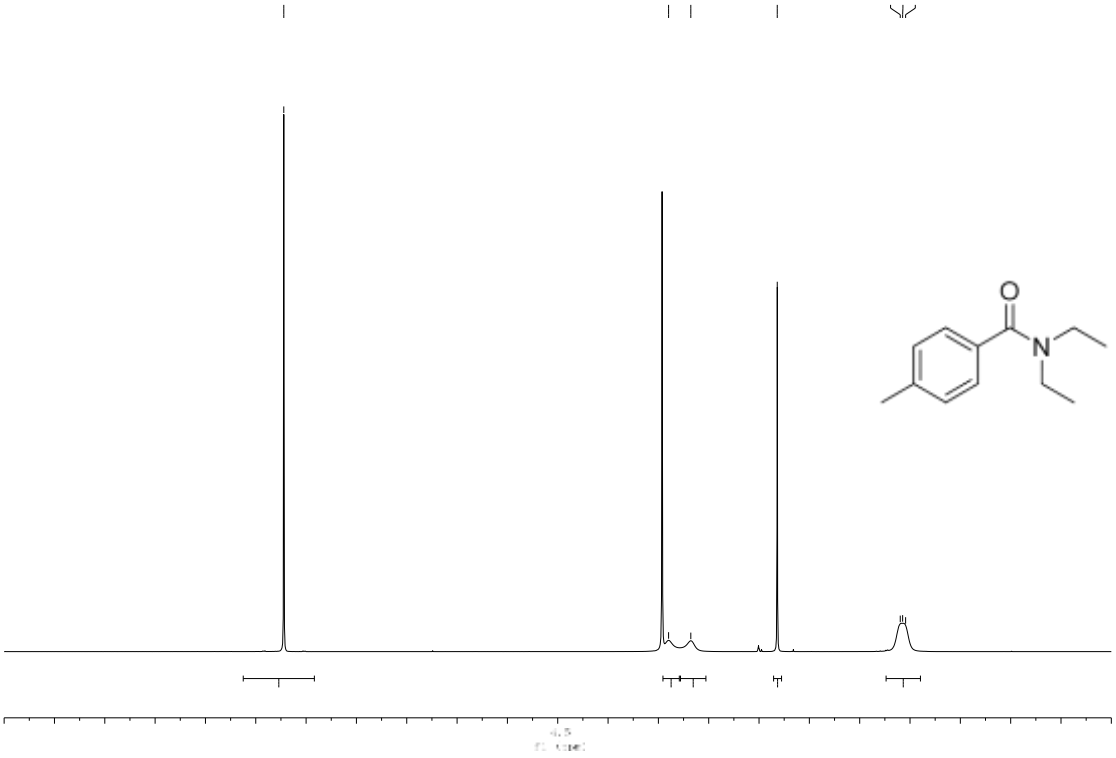
^{1}H NMR spectra for **3q**



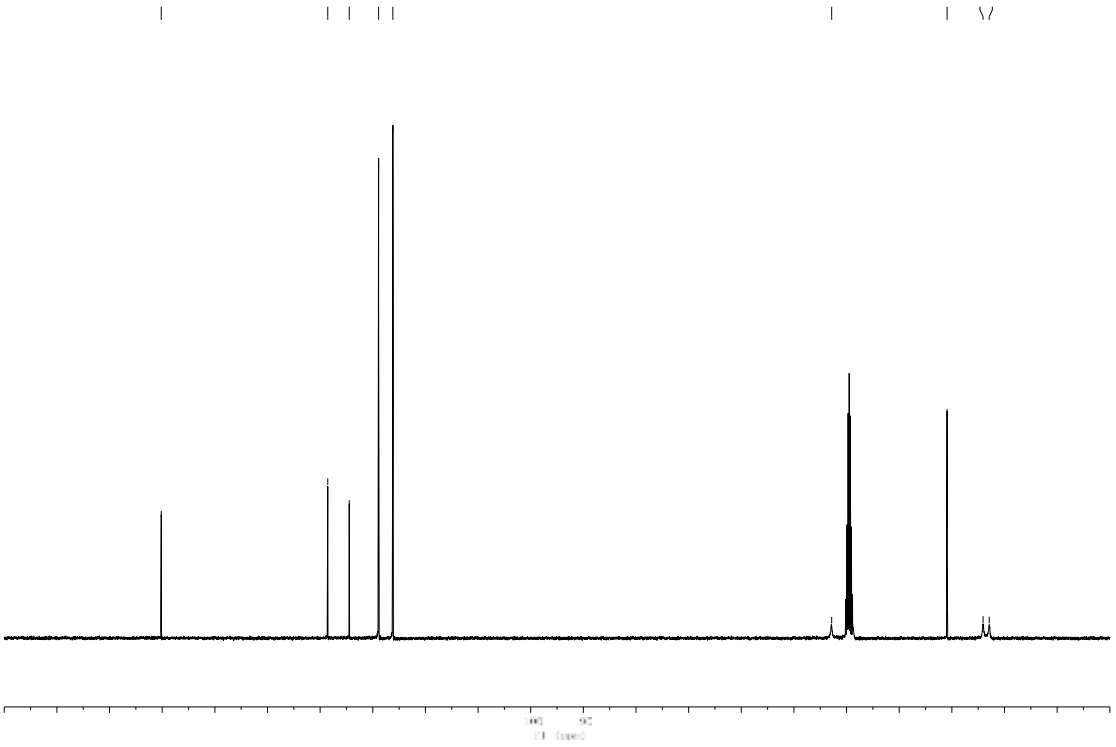
^{13}C NMR spectra for **3q**



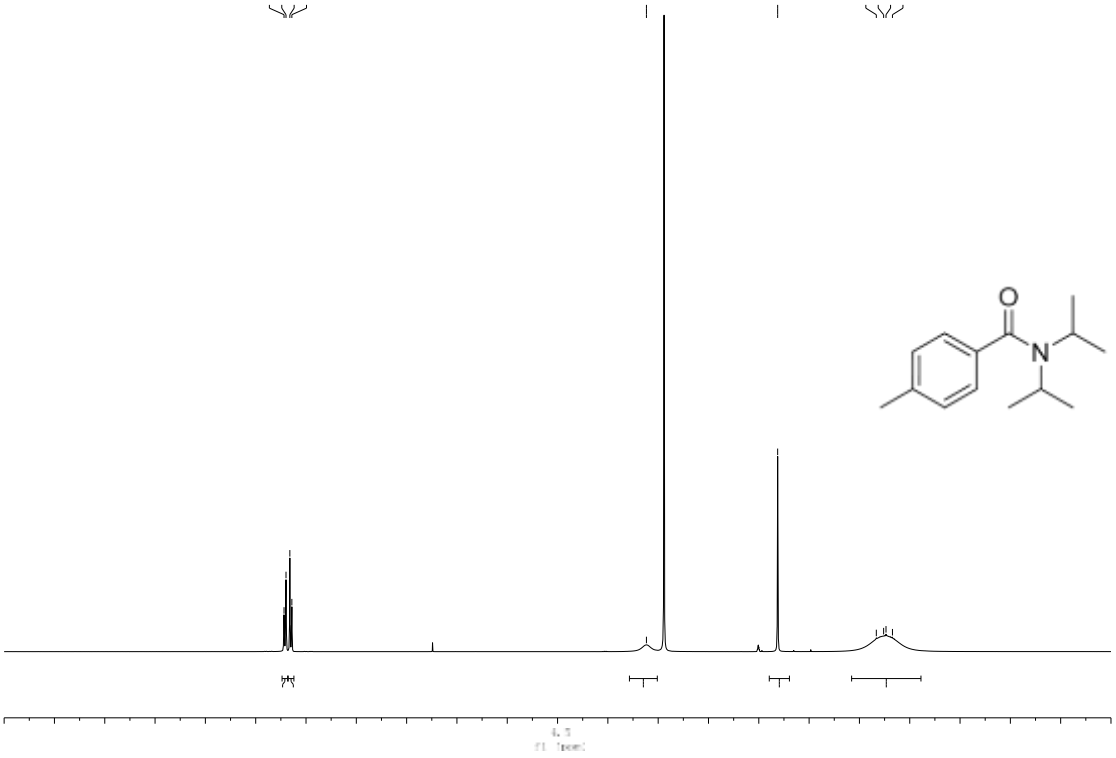
^{1}H NMR spectra for **3r**



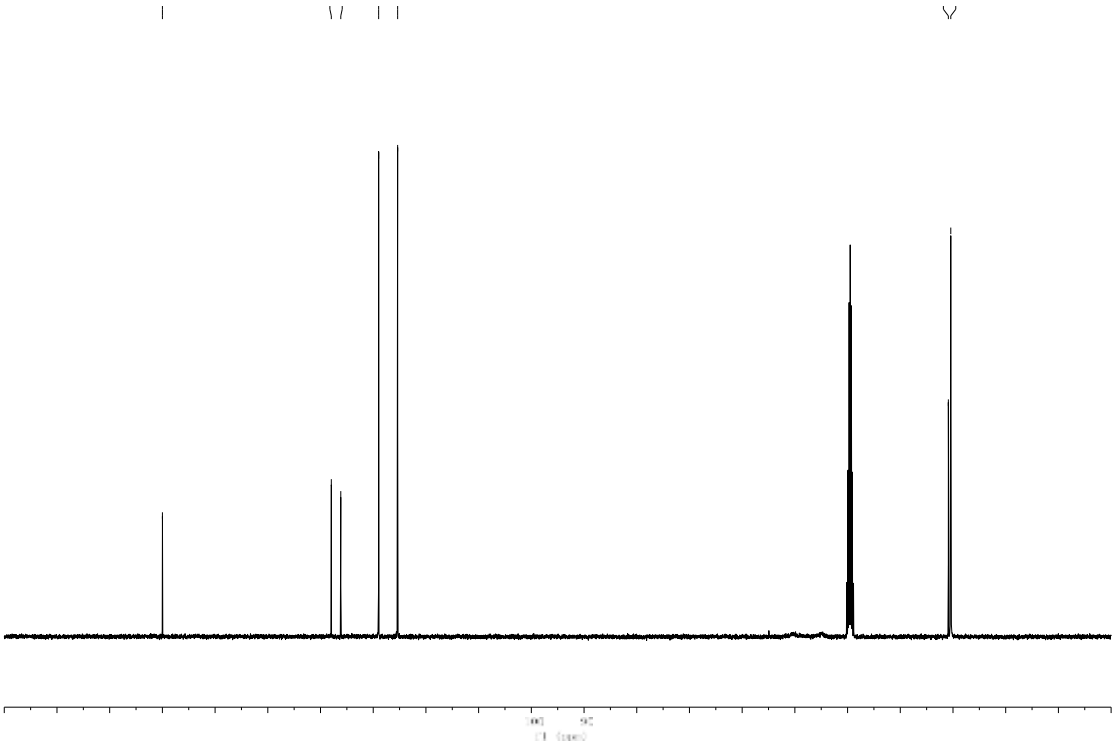
^{13}C NMR spectra for **3r**



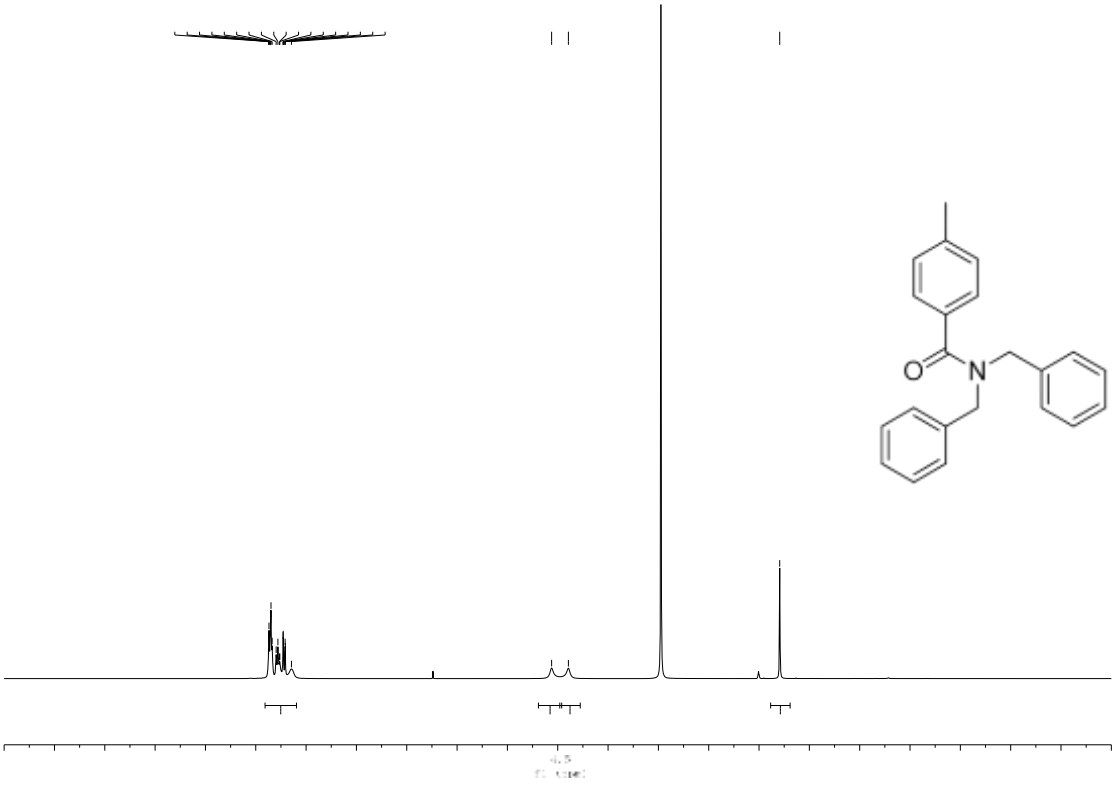
^{1}H NMR spectra for **3s**



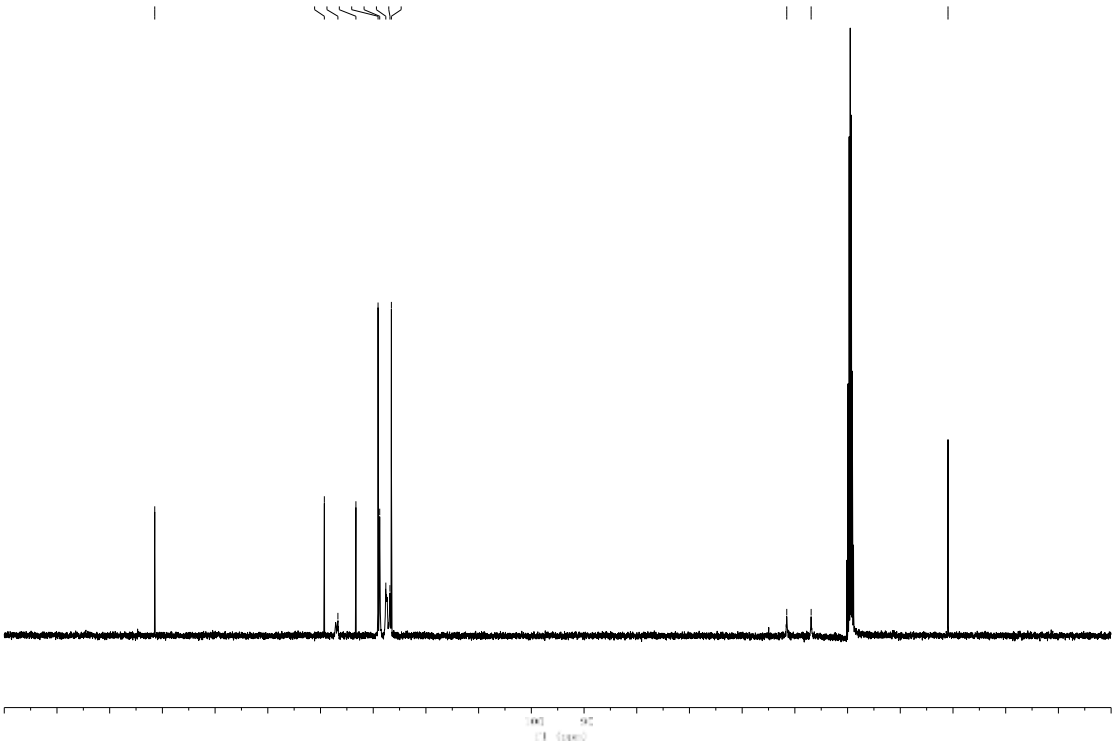
^{13}C NMR spectra for **3s**



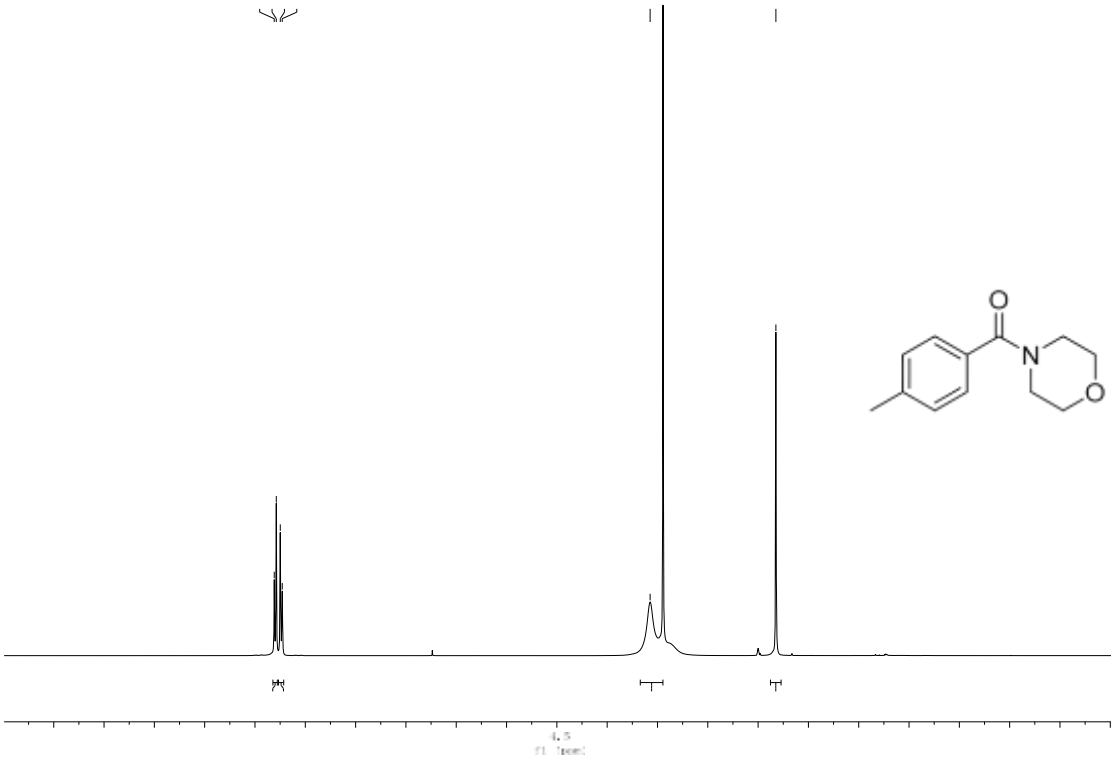
^{1}H NMR spectra for **3t**



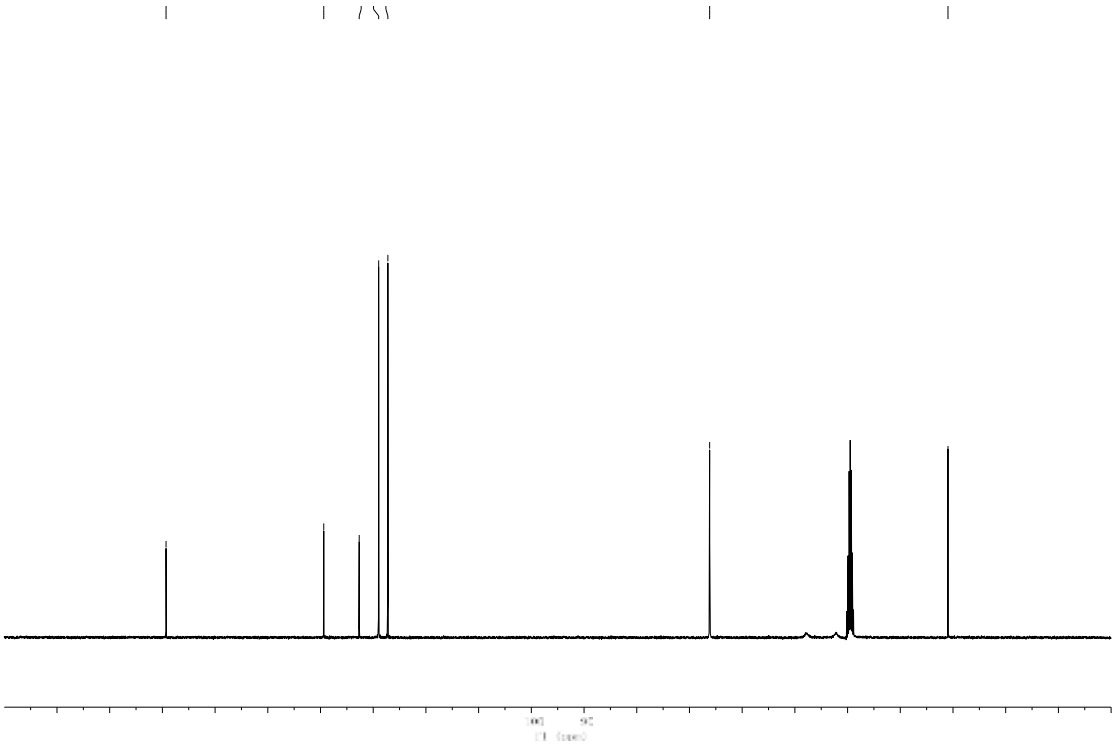
^{13}C NMR spectra for **3t**



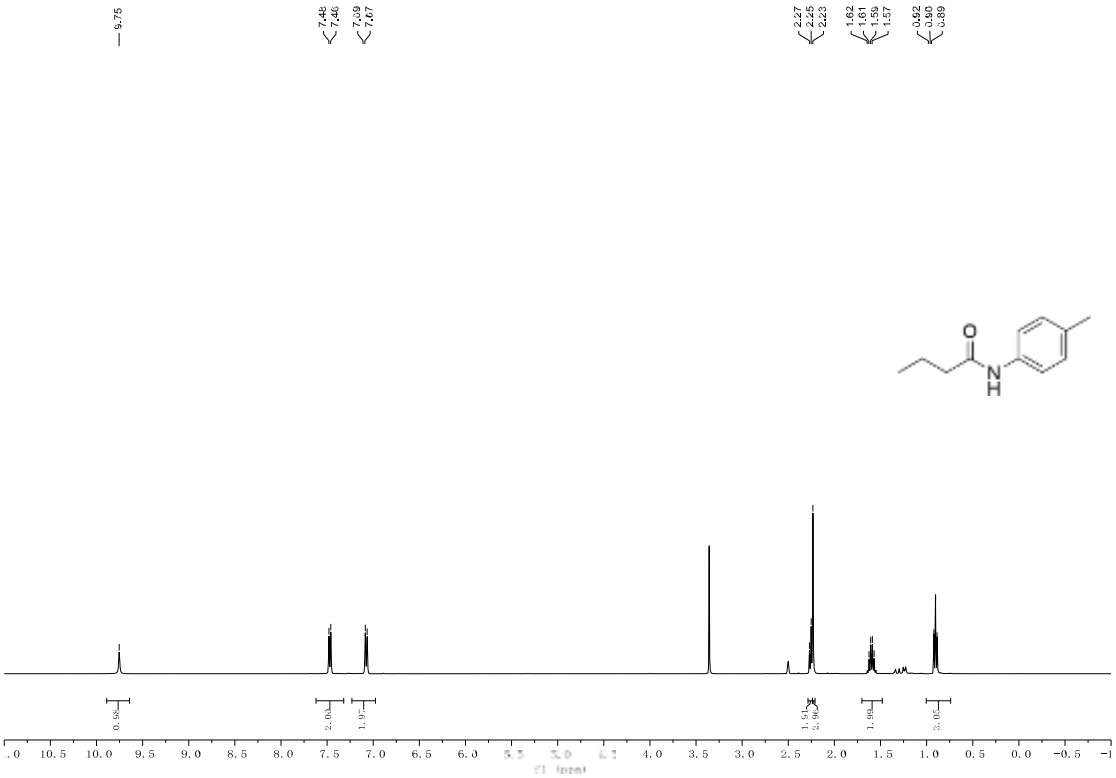
^{1}H NMR spectra for **3u**



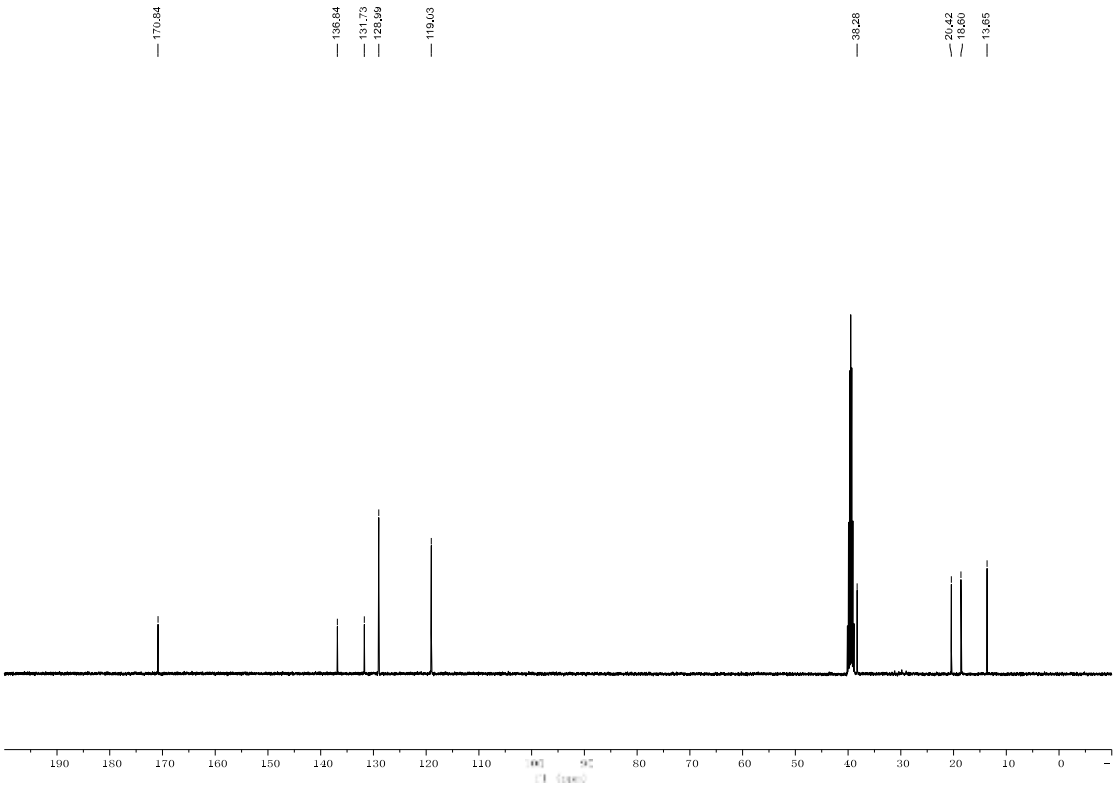
^{13}C NMR spectra for **3u**



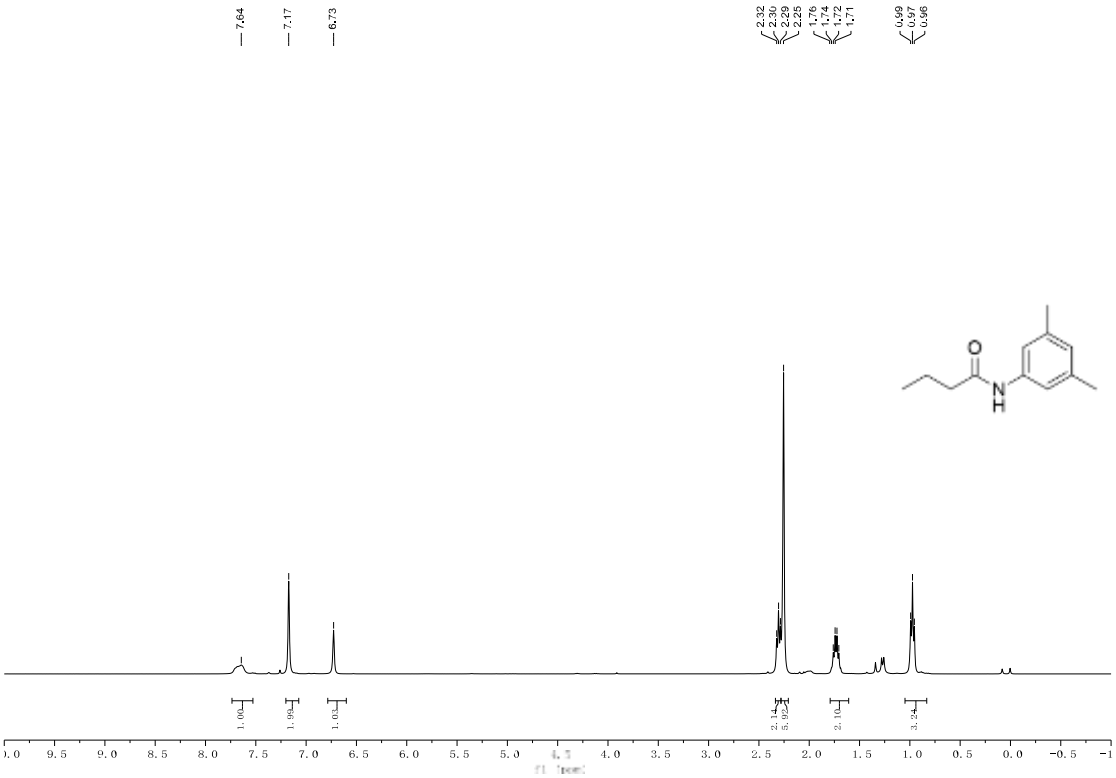
^{1}H NMR spectra for **3v**



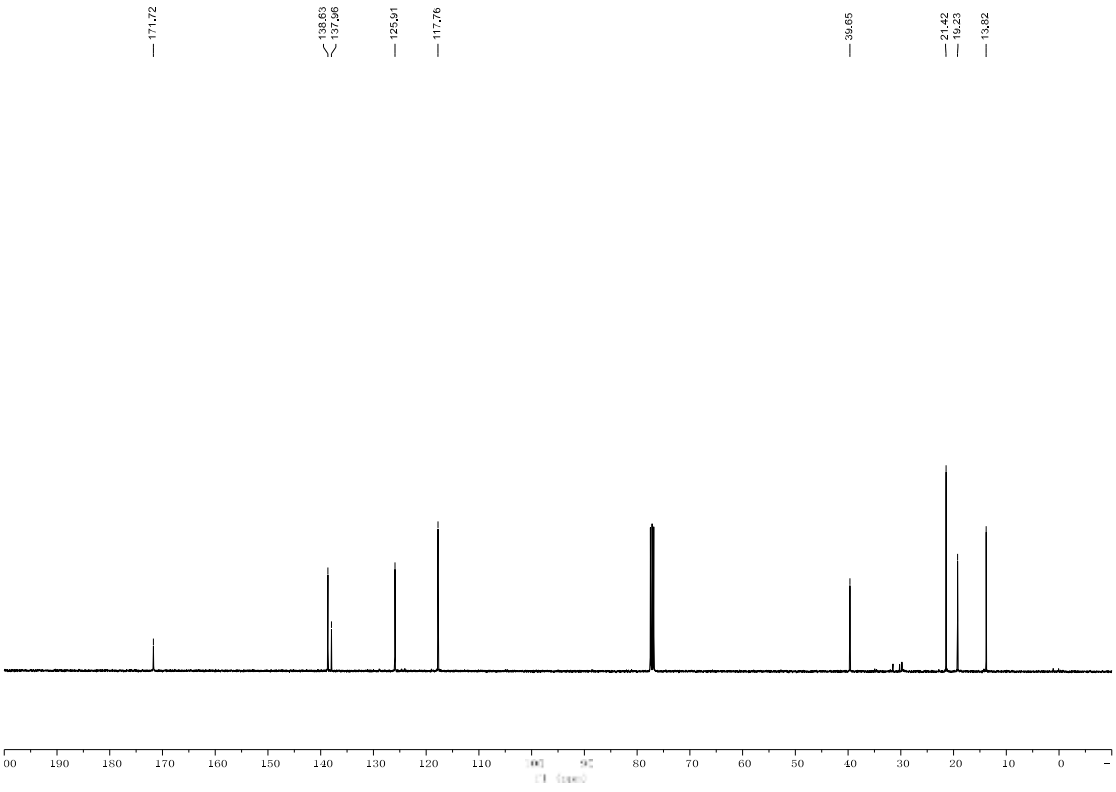
^{13}C NMR spectra for **3v**



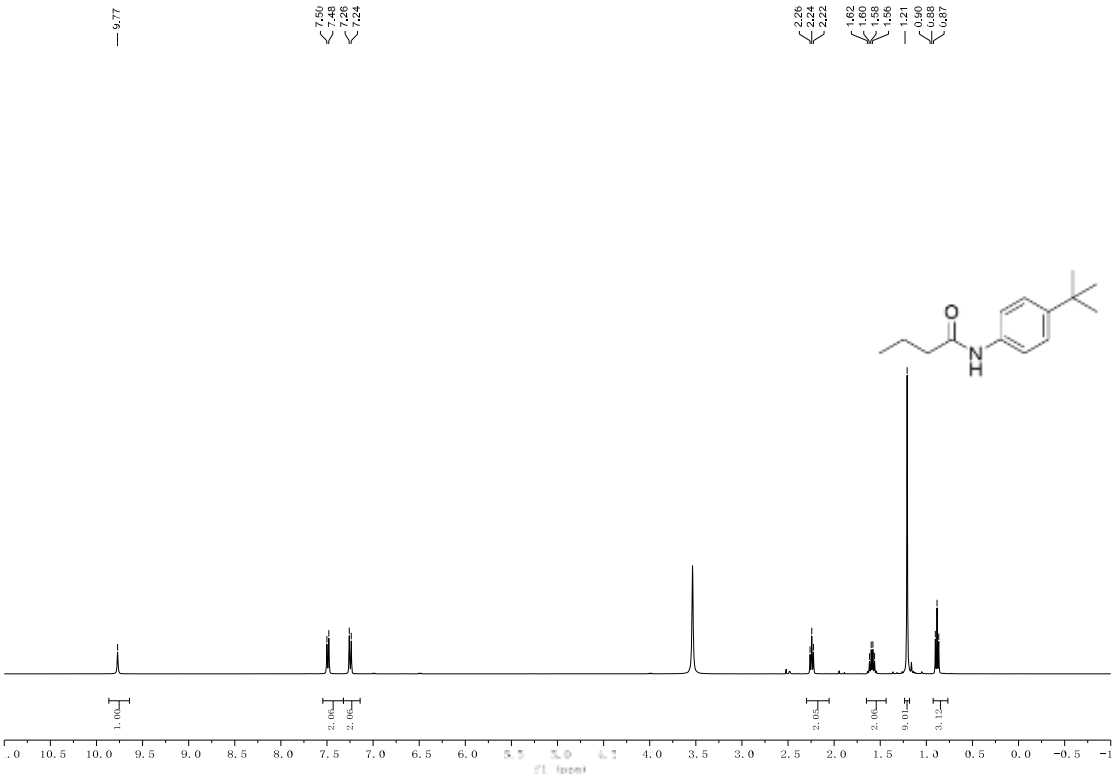
^{1}H NMR spectra for **3w**



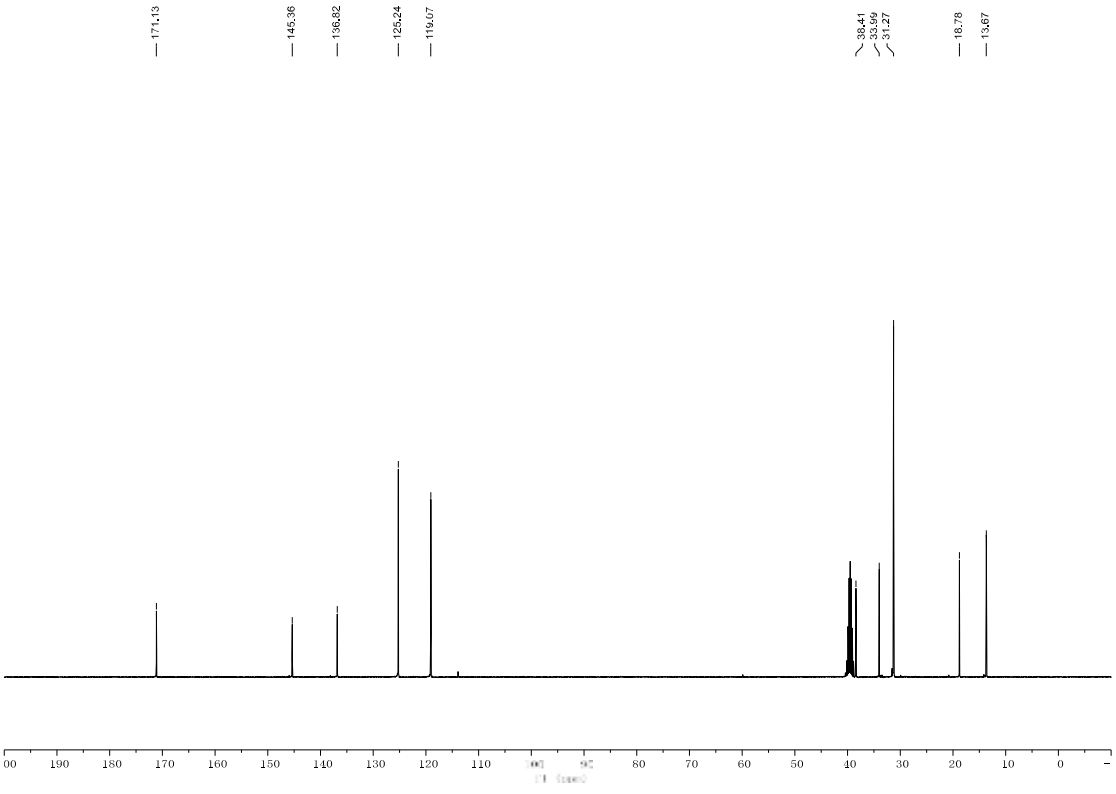
^{13}C NMR spectra for **3w**



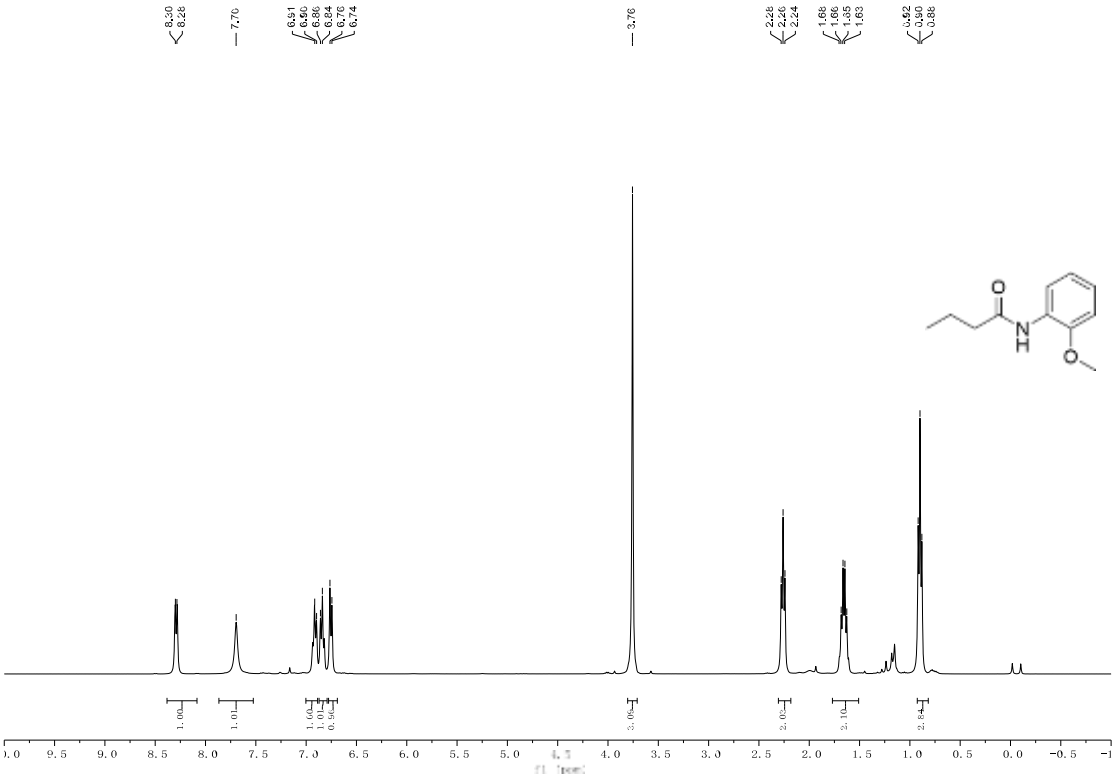
^{1}H NMR spectra for **3x**



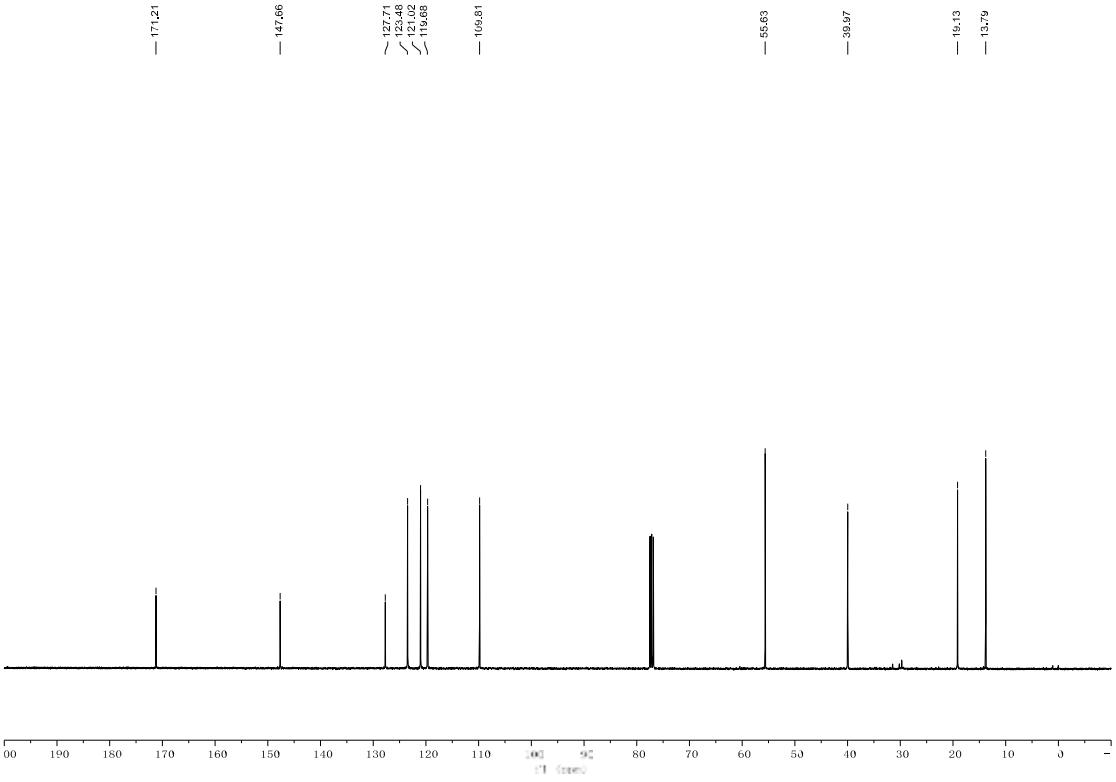
^{13}C NMR spectra for **3x**



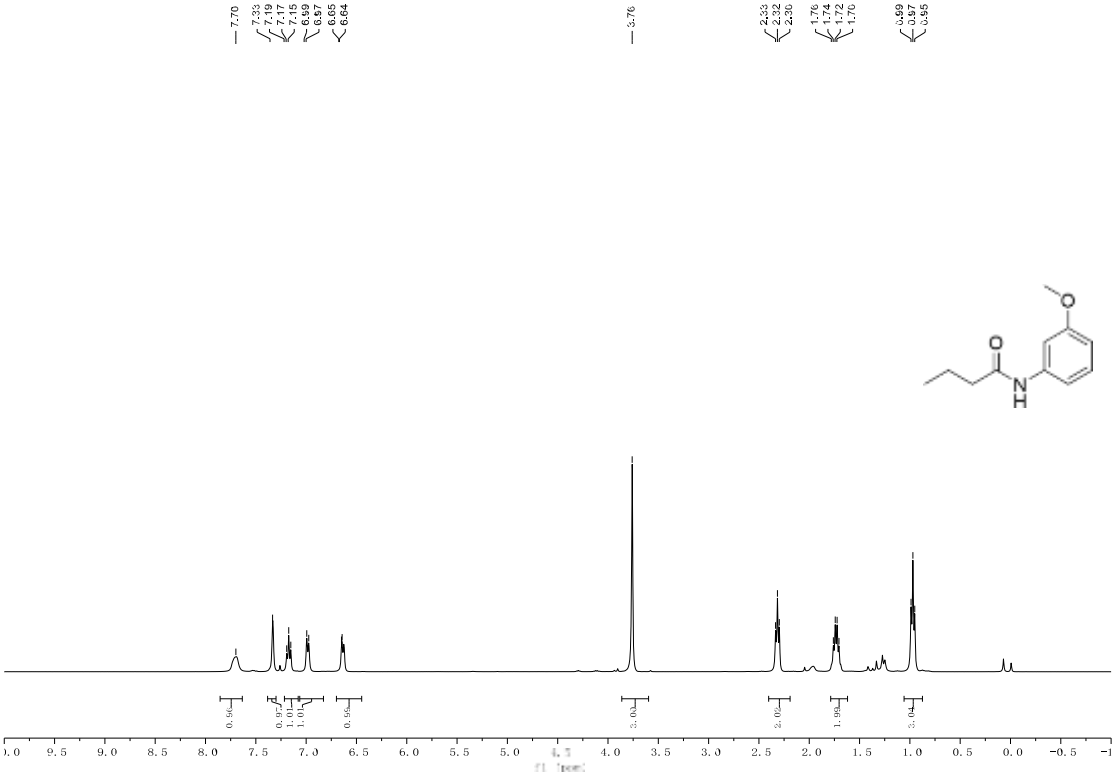
^{1}H NMR spectra for **3y**



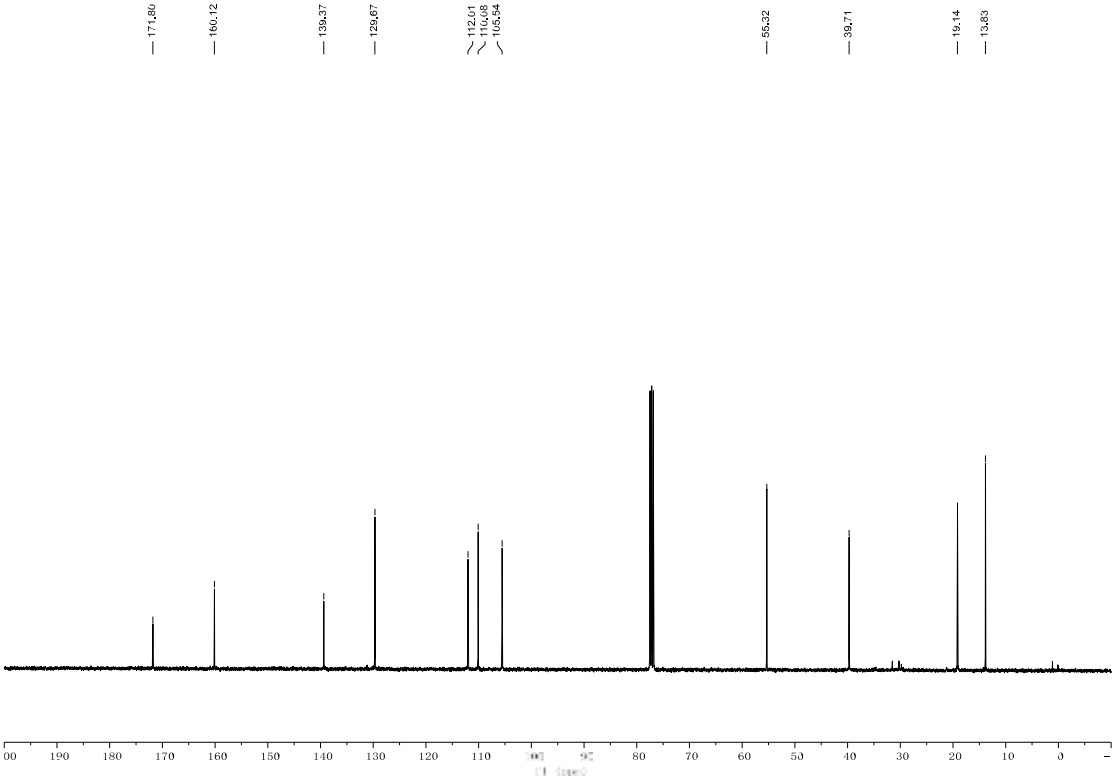
^{13}C NMR spectra for **3y**



^{1}H NMR spectra for **3z**

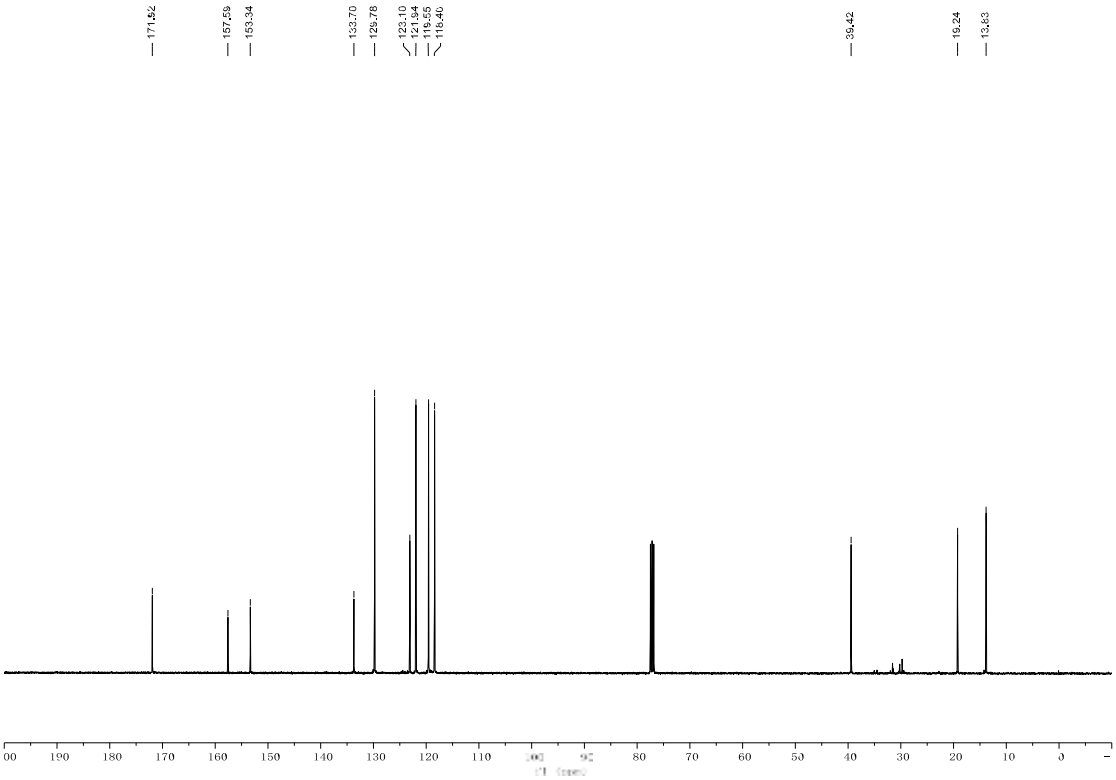


^{13}C NMR spectra for **3z**

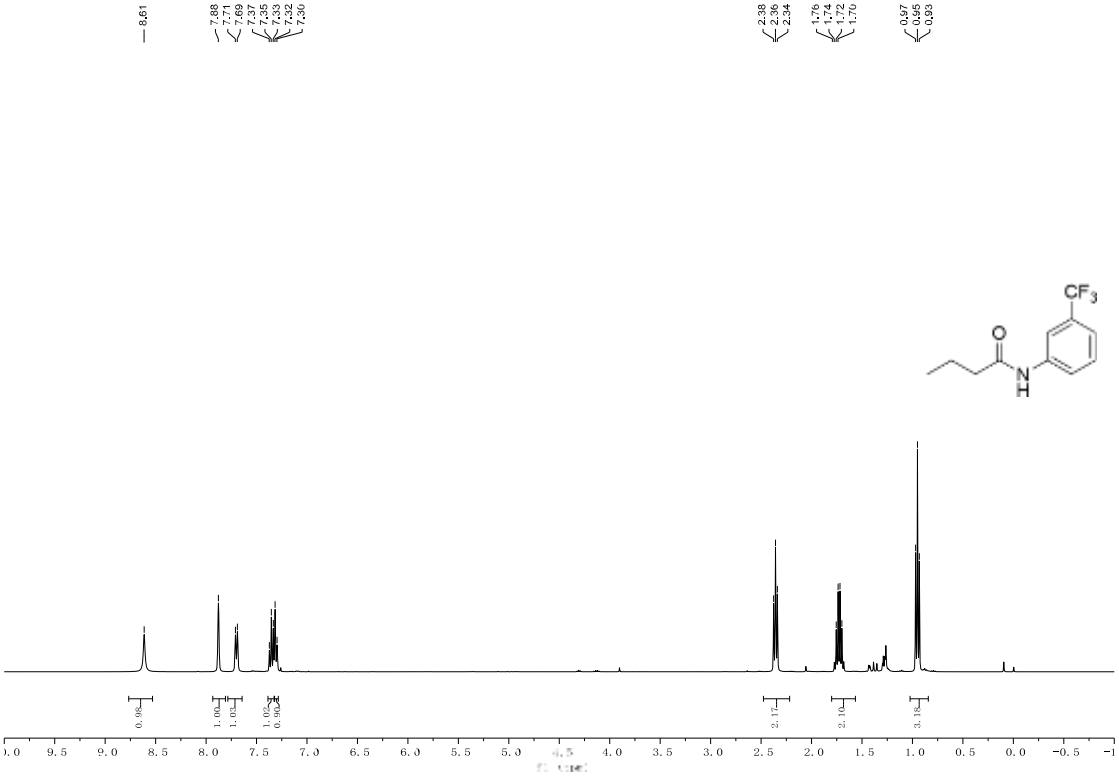


^{1}H NMR spectra for **3aa**

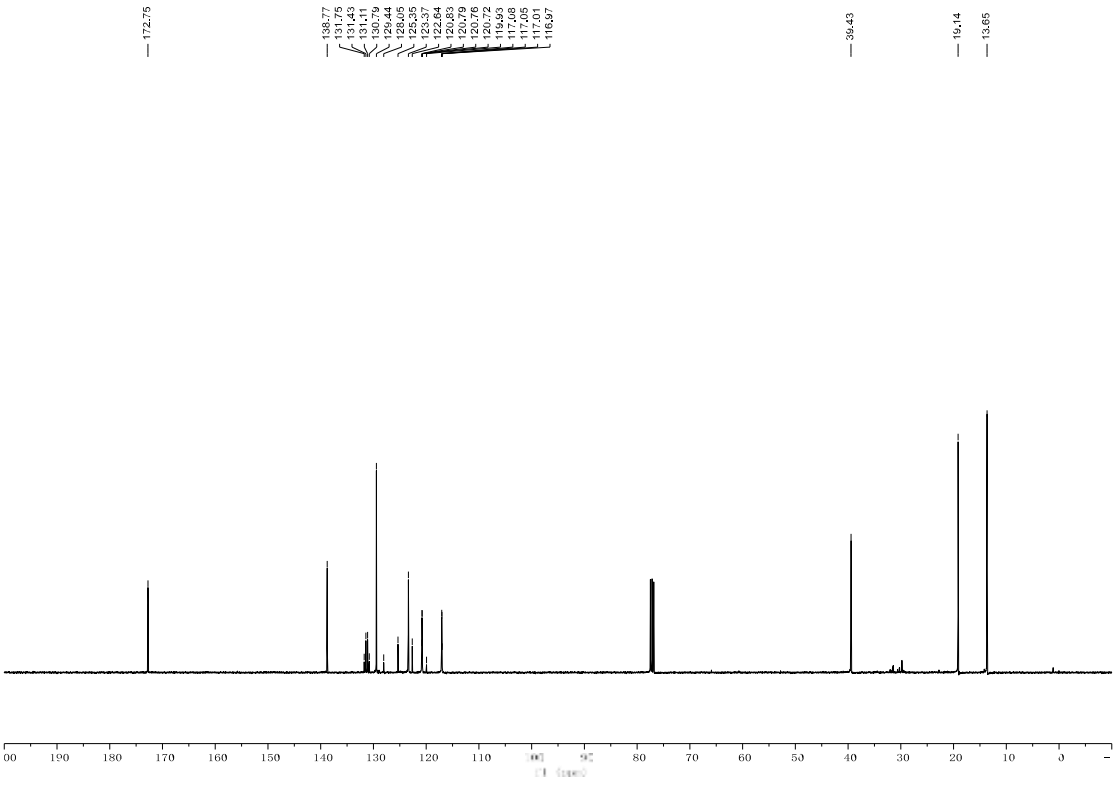
^{13}C NMR spectra for **3aa**



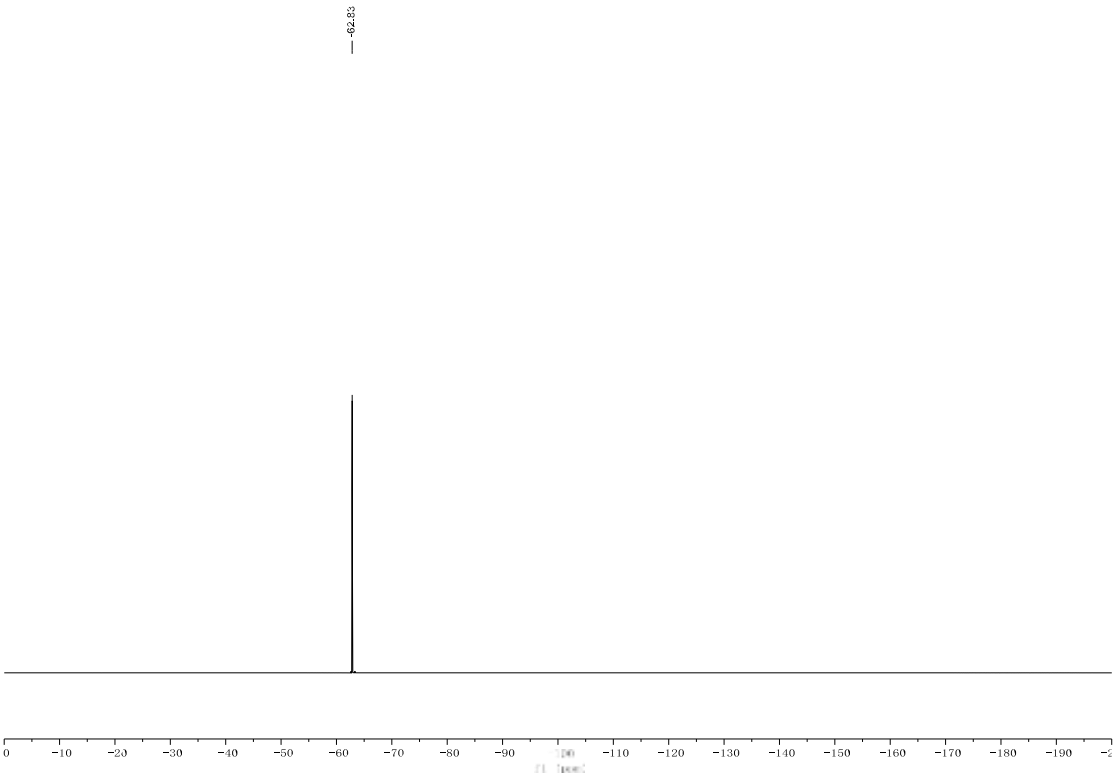
^{1}H NMR spectra for **3ab**



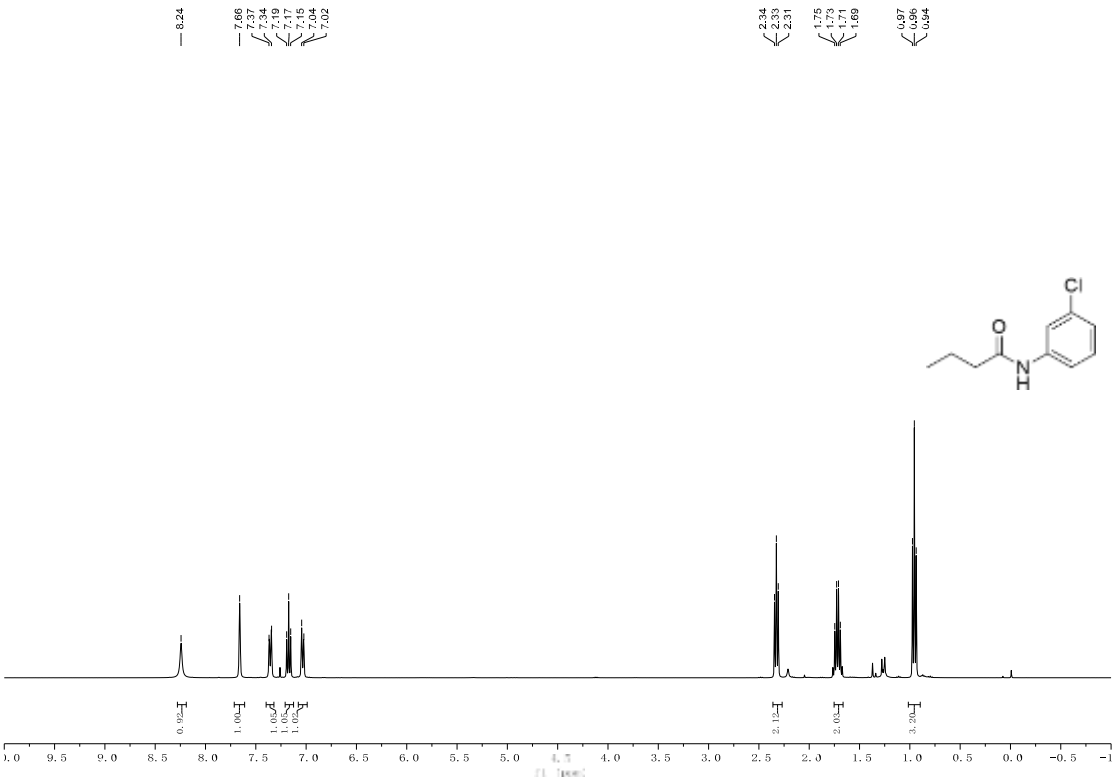
^{13}C NMR spectra for **3ab**



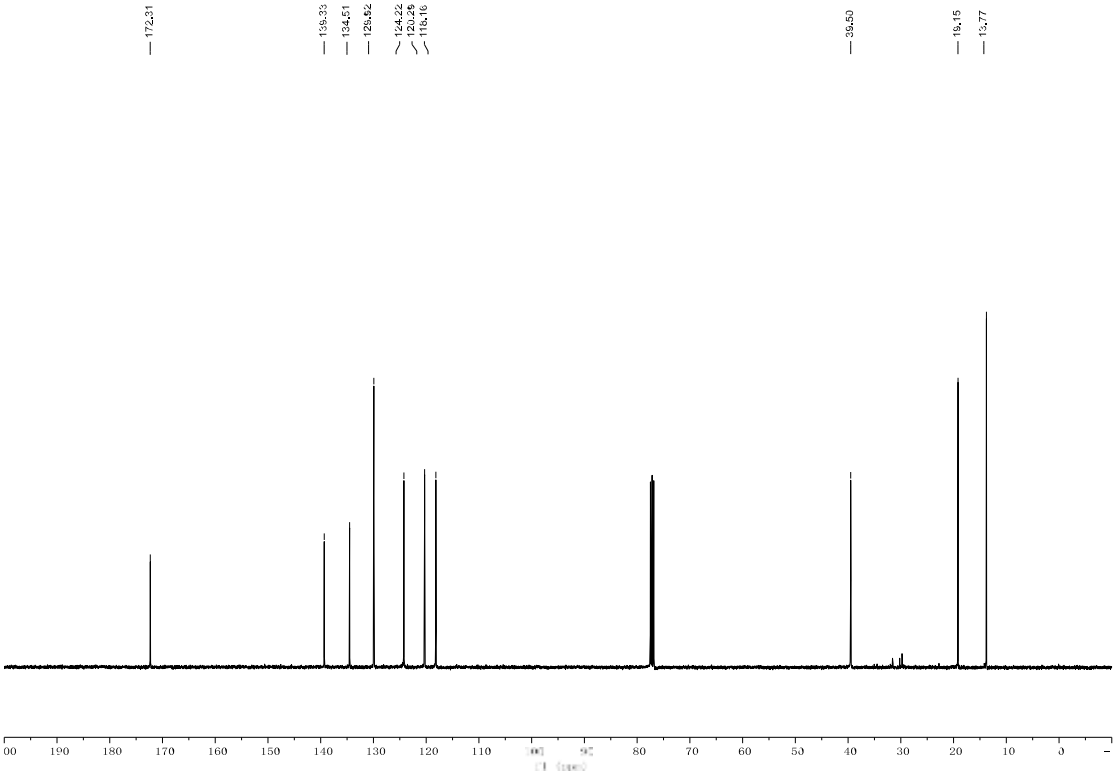
^{19}F NMR spectra for **3ab**



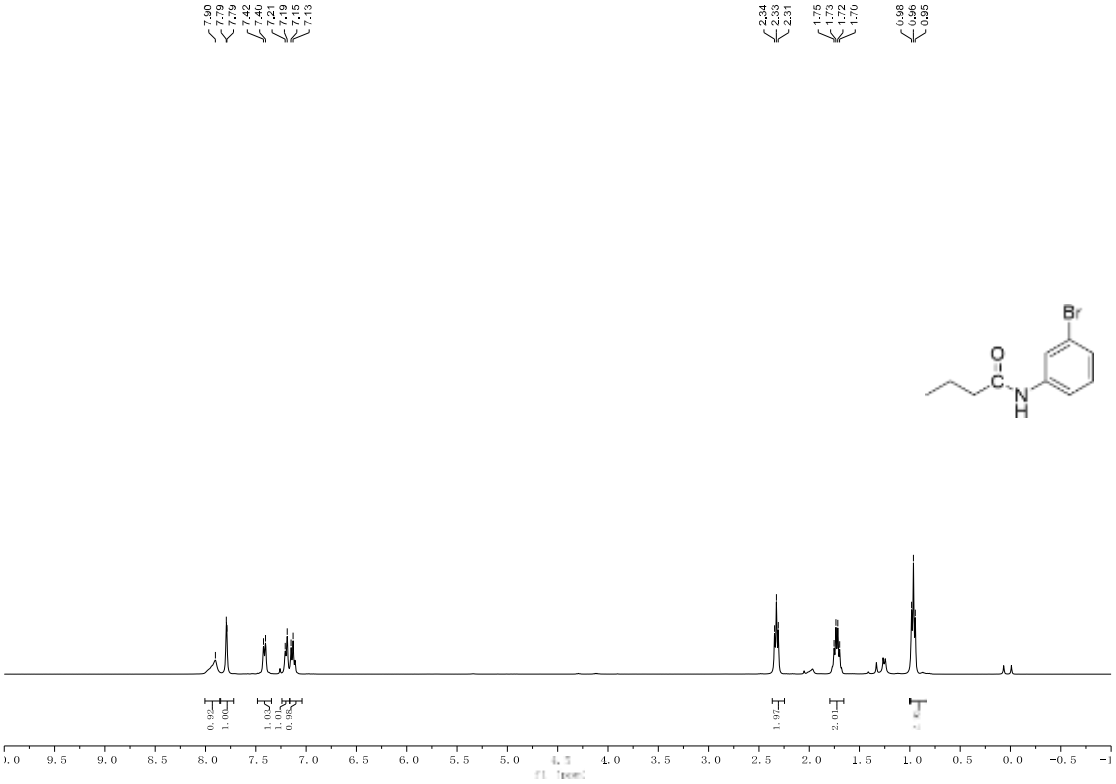
^{1}H NMR spectra for **3ac**



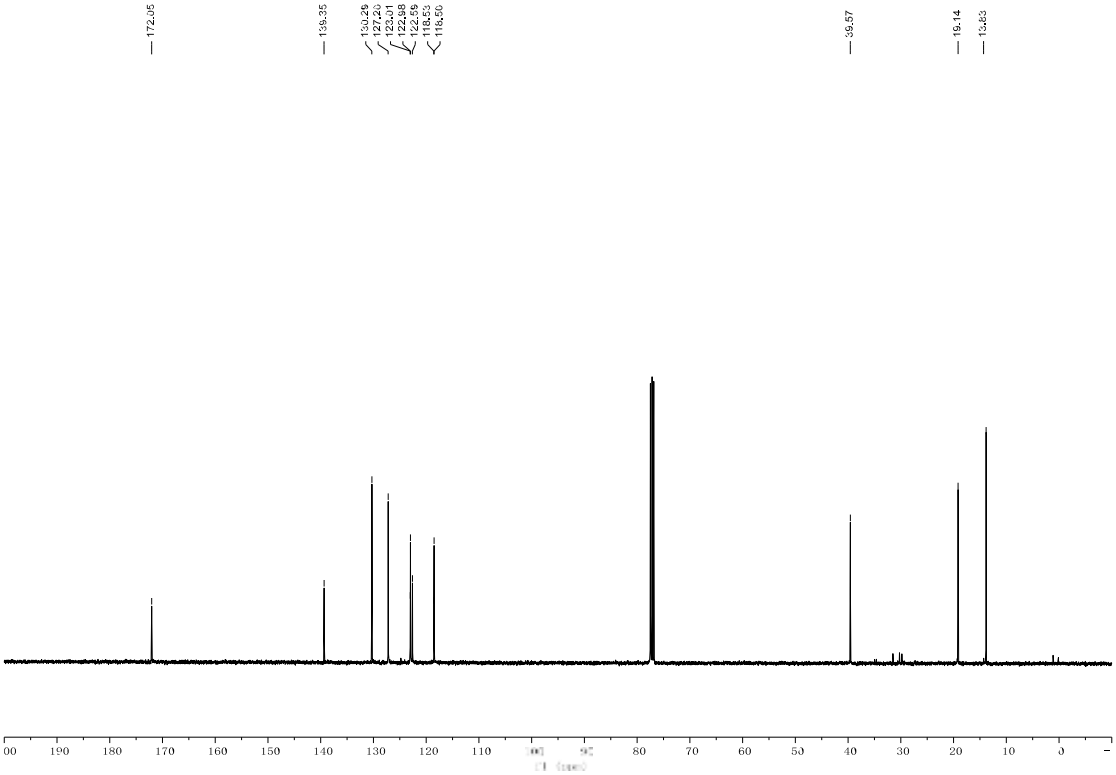
^{13}C NMR spectra for **3ac**



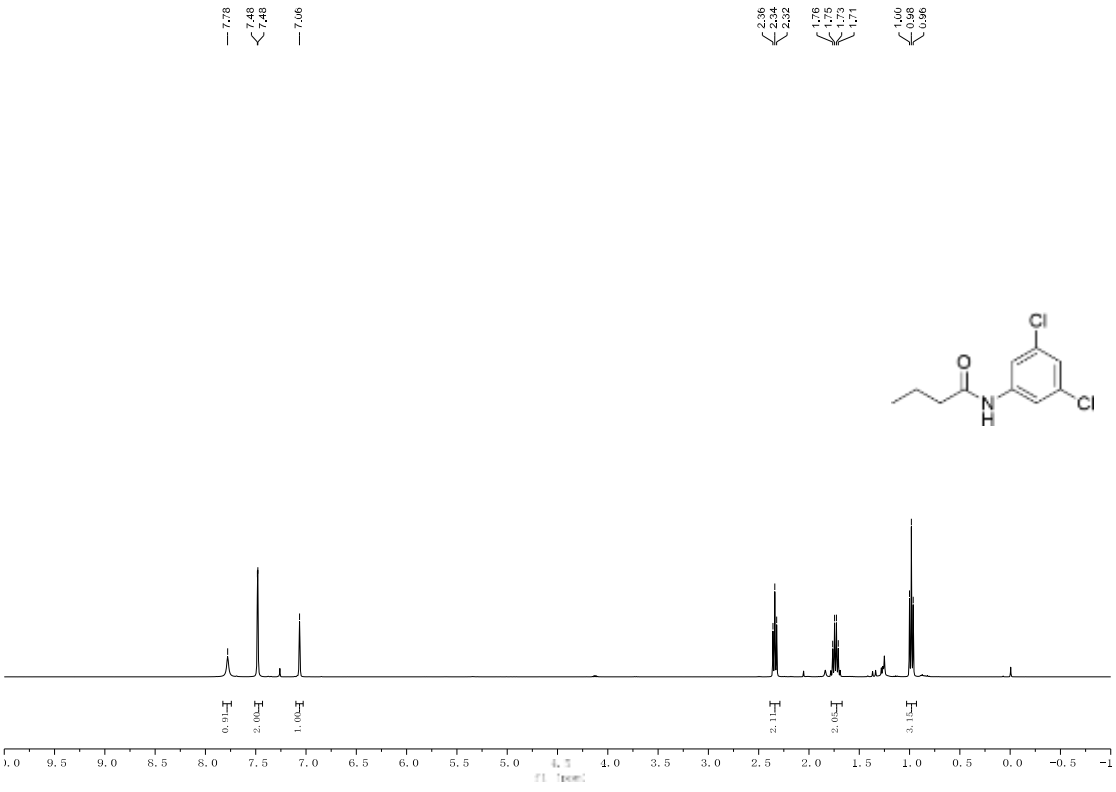
^{1}H NMR spectra for **3ad**



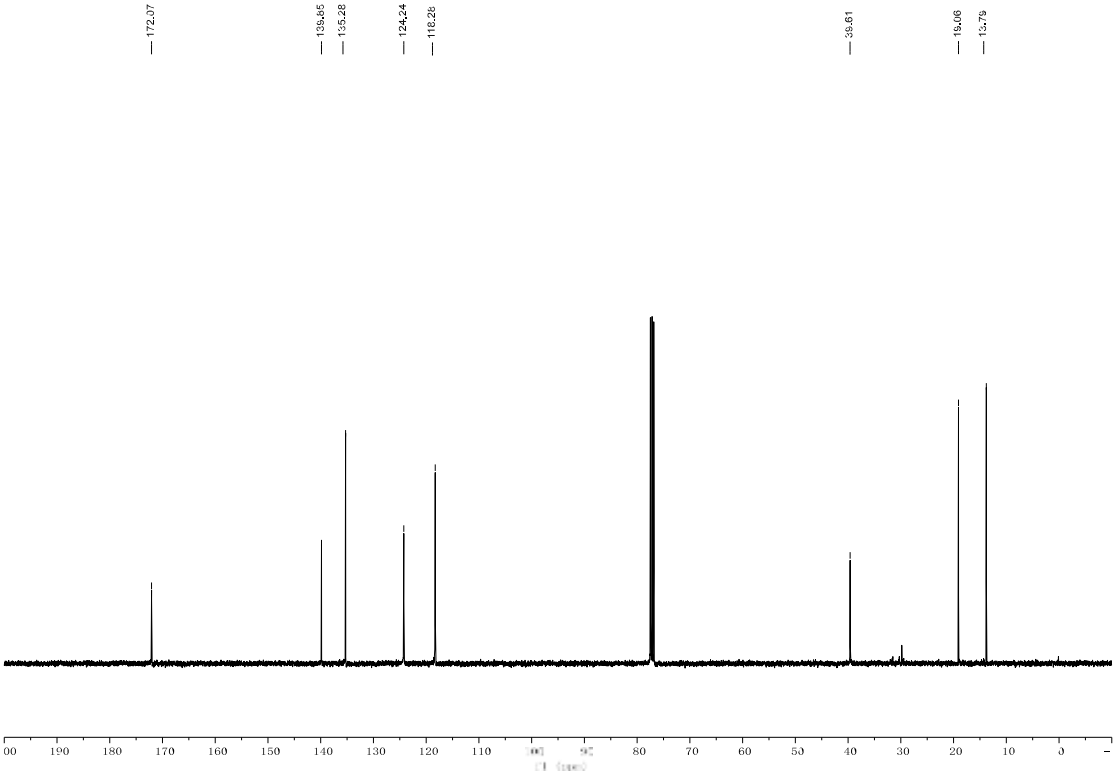
^{13}C NMR spectra for **3ad**



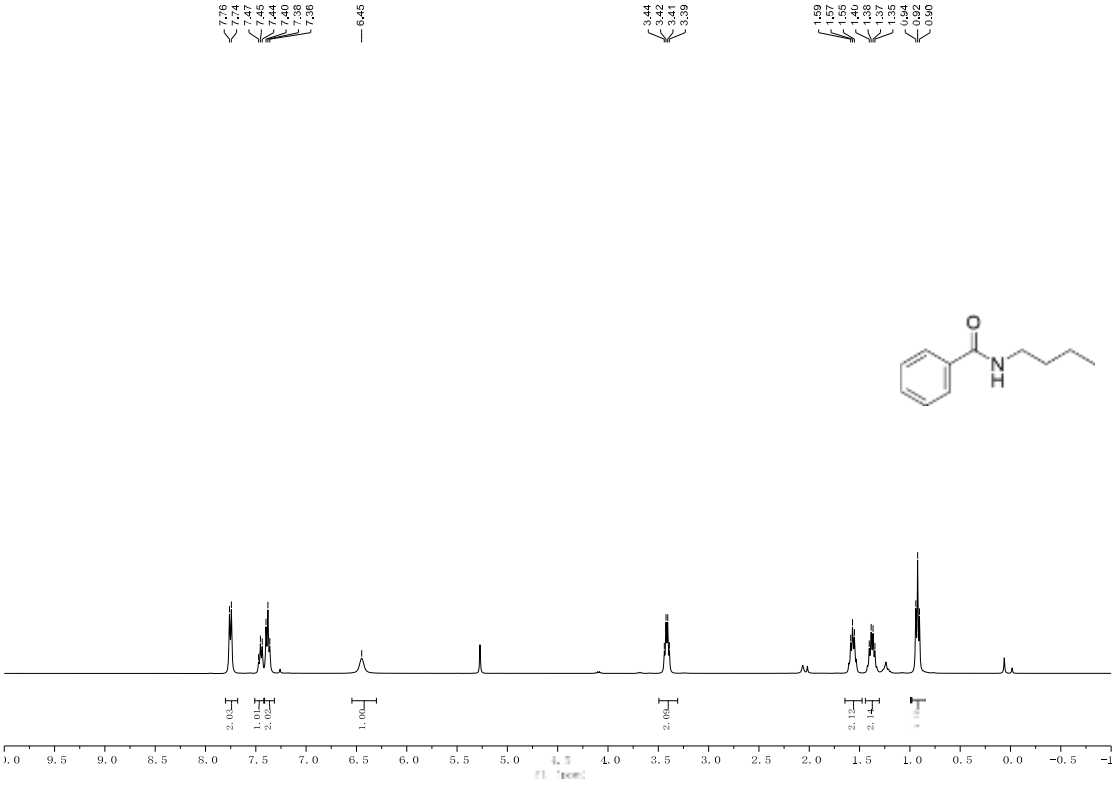
^{1}H NMR spectra for **3ae**



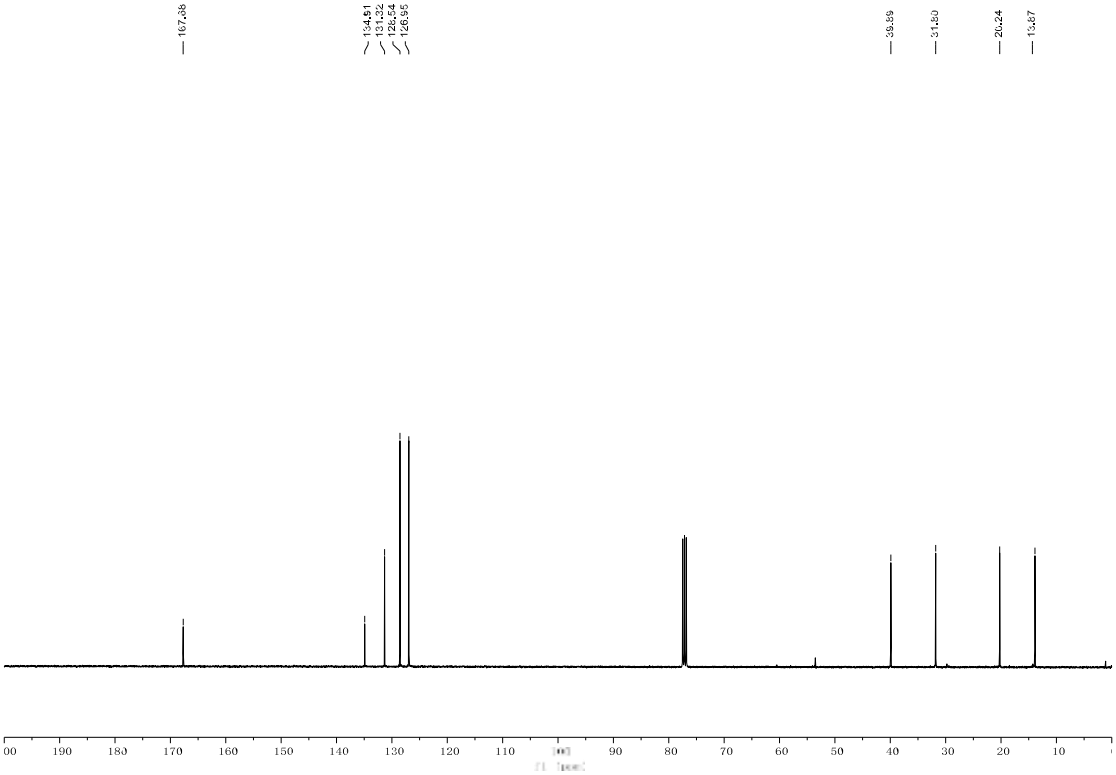
^{13}C NMR spectra for **3ae**



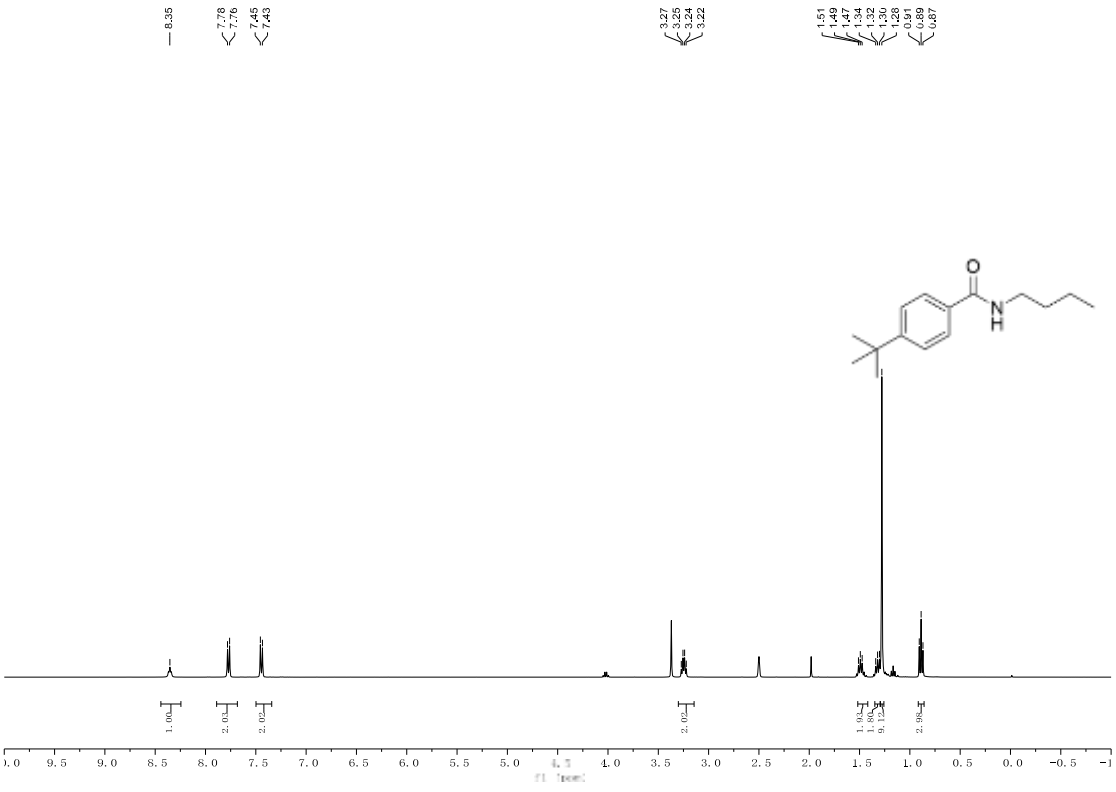
^{1}H NMR spectra for **5a**



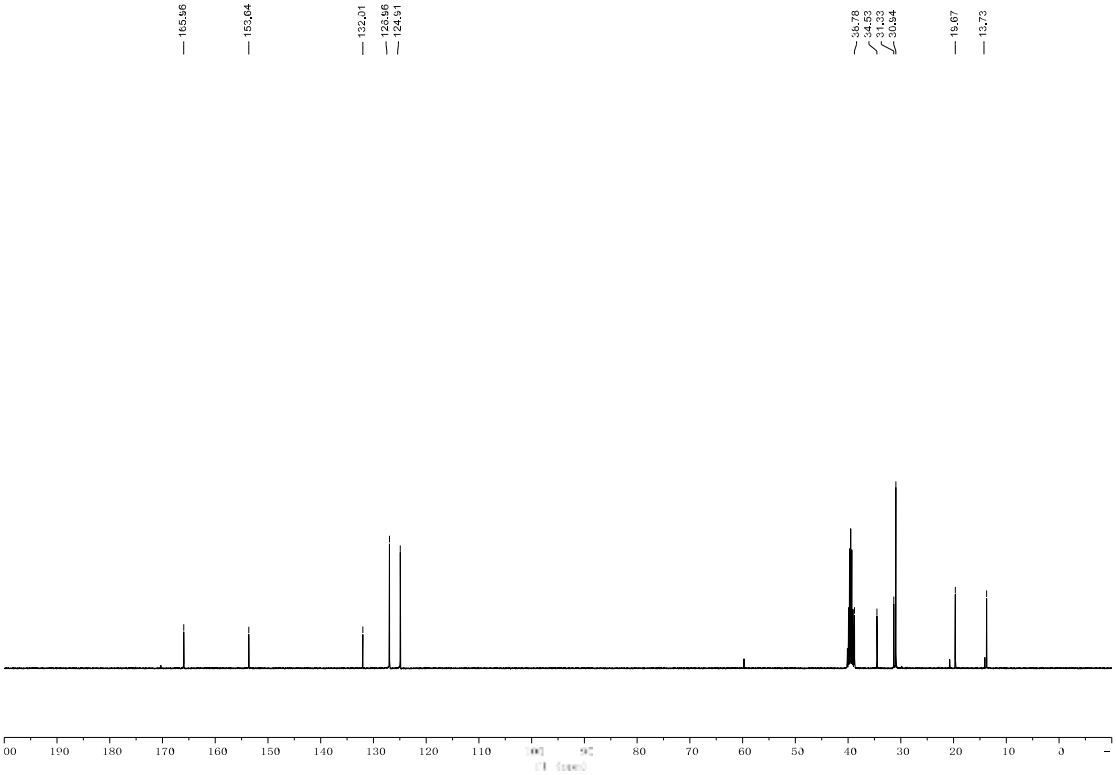
^{13}C NMR spectra for **5a**



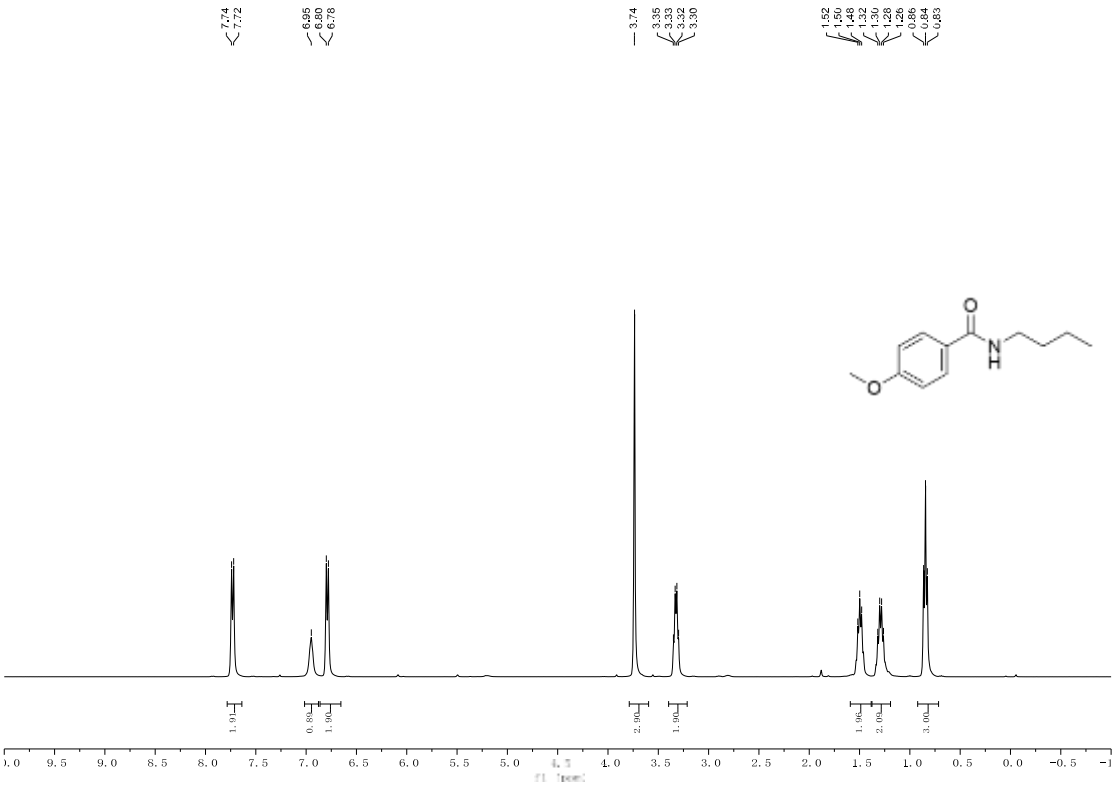
^{1}H NMR spectra for **5b**



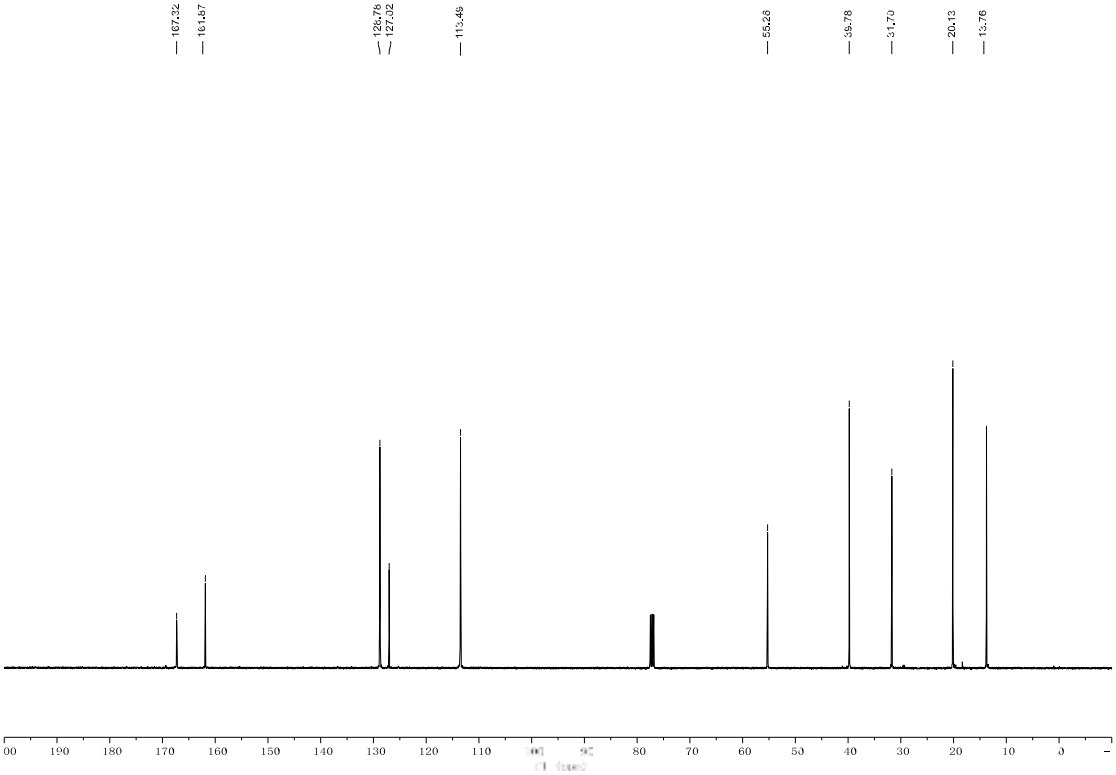
^{13}C NMR spectra for **5b**



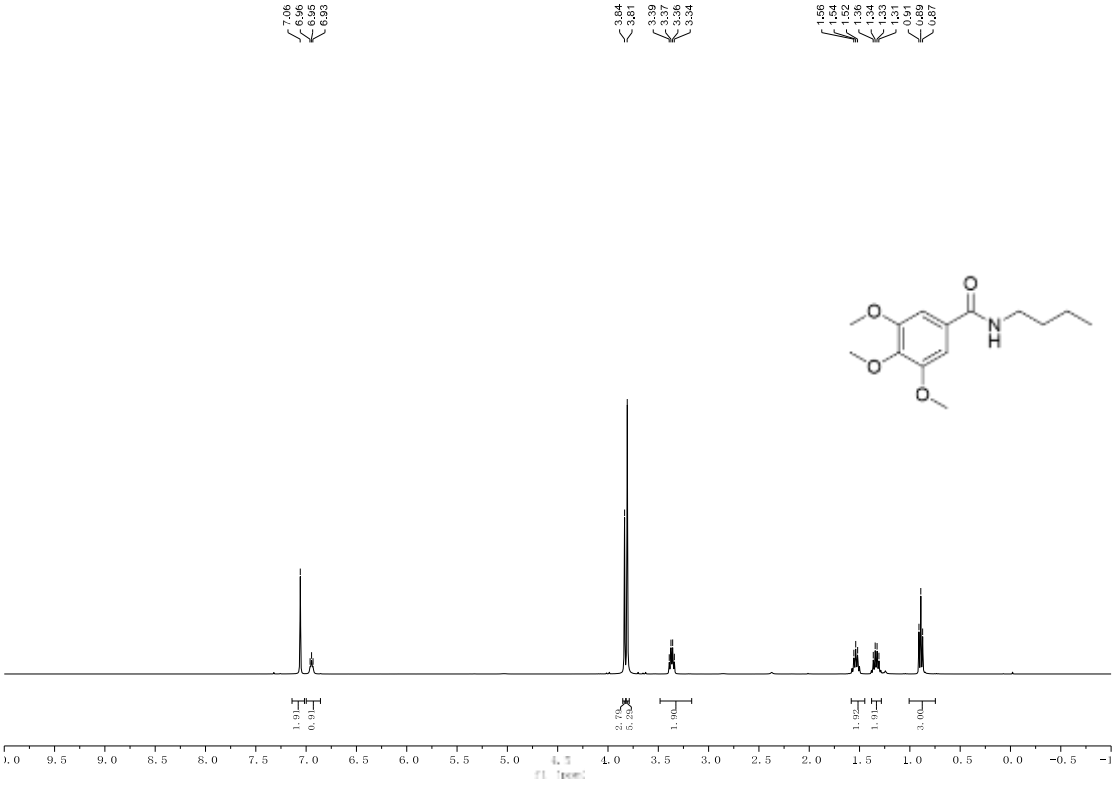
^{1}H NMR spectra for **5c**



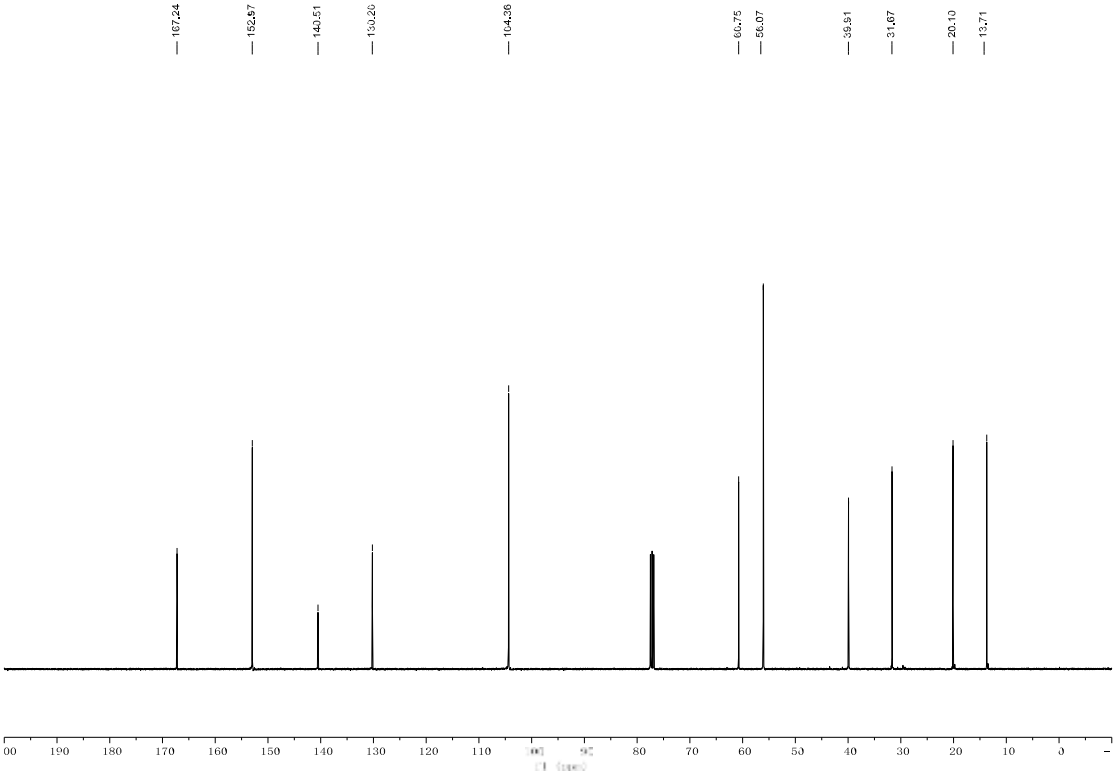
^{13}C NMR spectra for **5c**



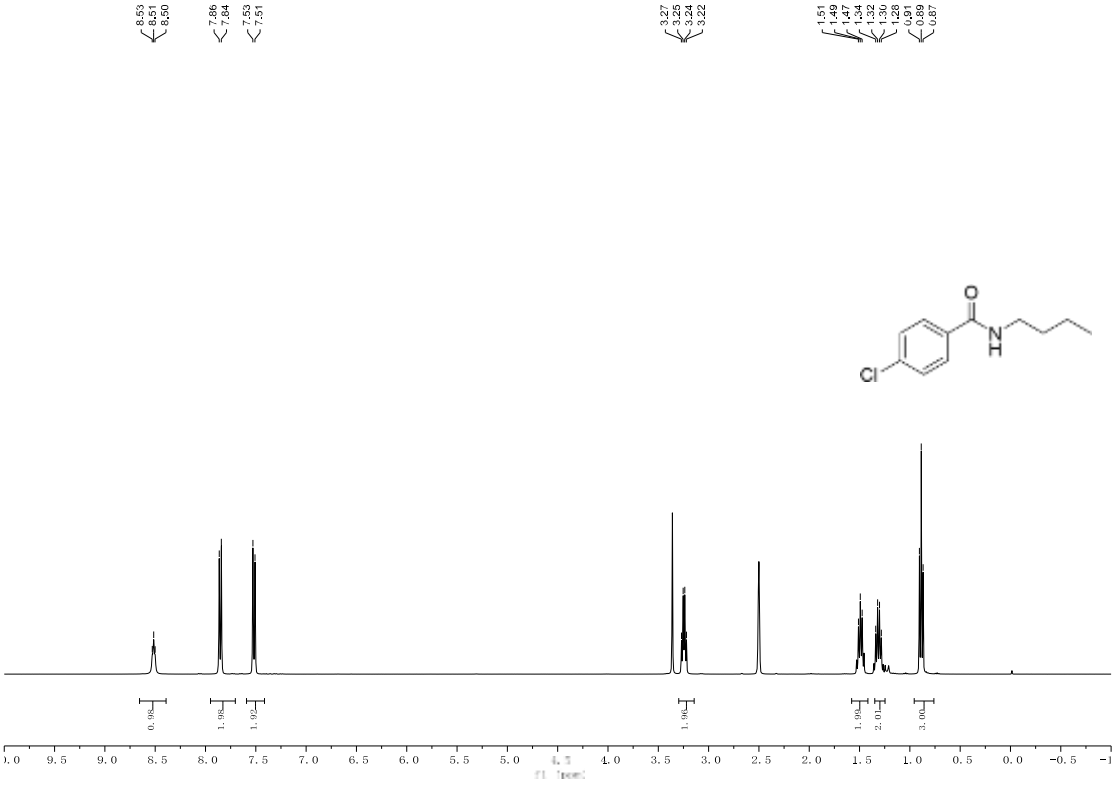
^{1}H NMR spectra for **5d**



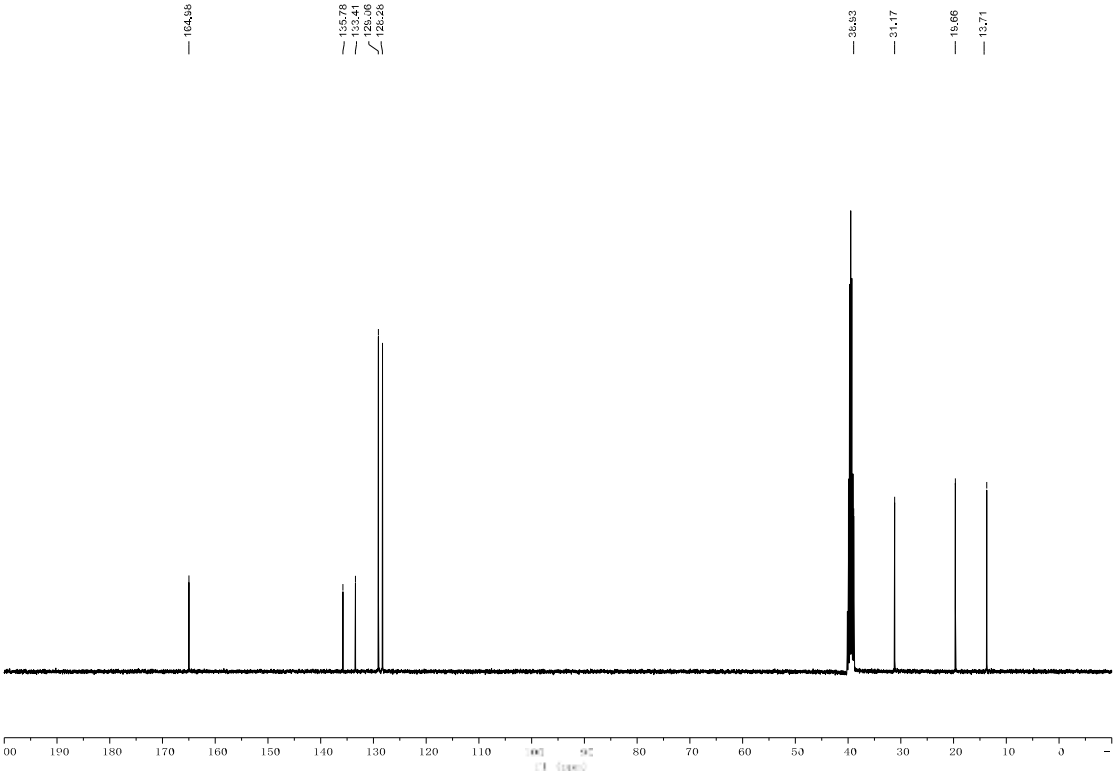
^{13}C NMR spectra for **5d**



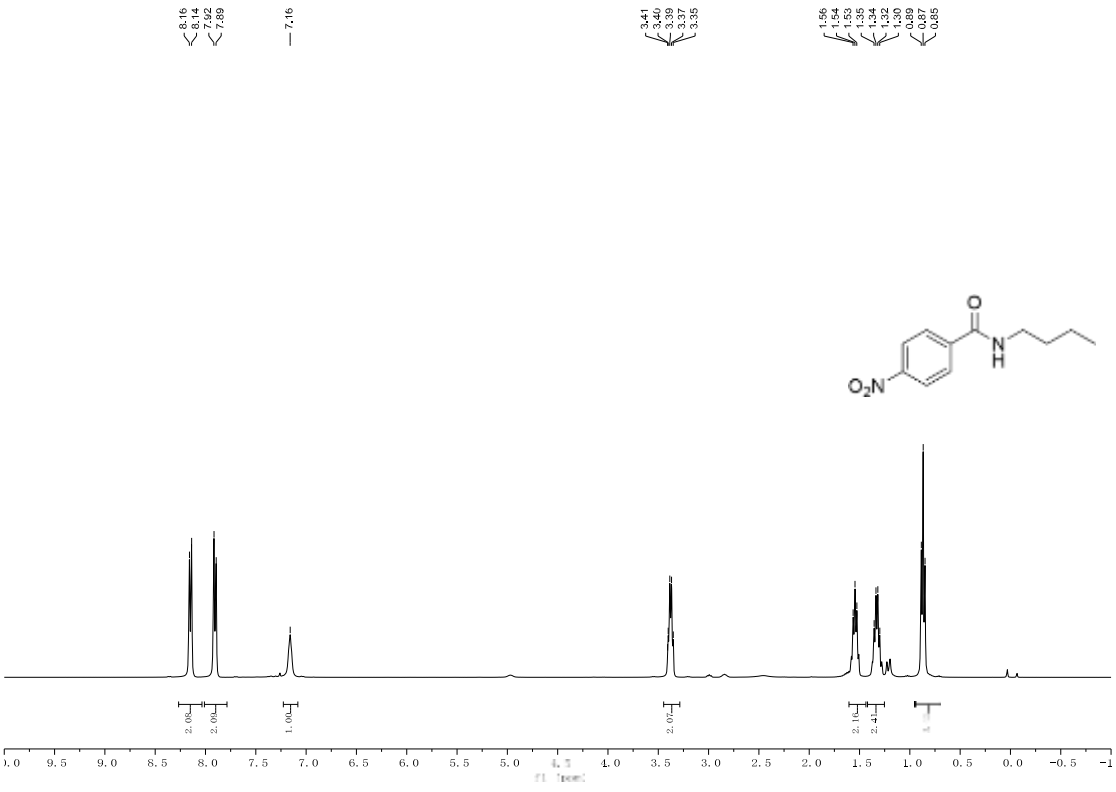
^{1}H NMR spectra for **5e**



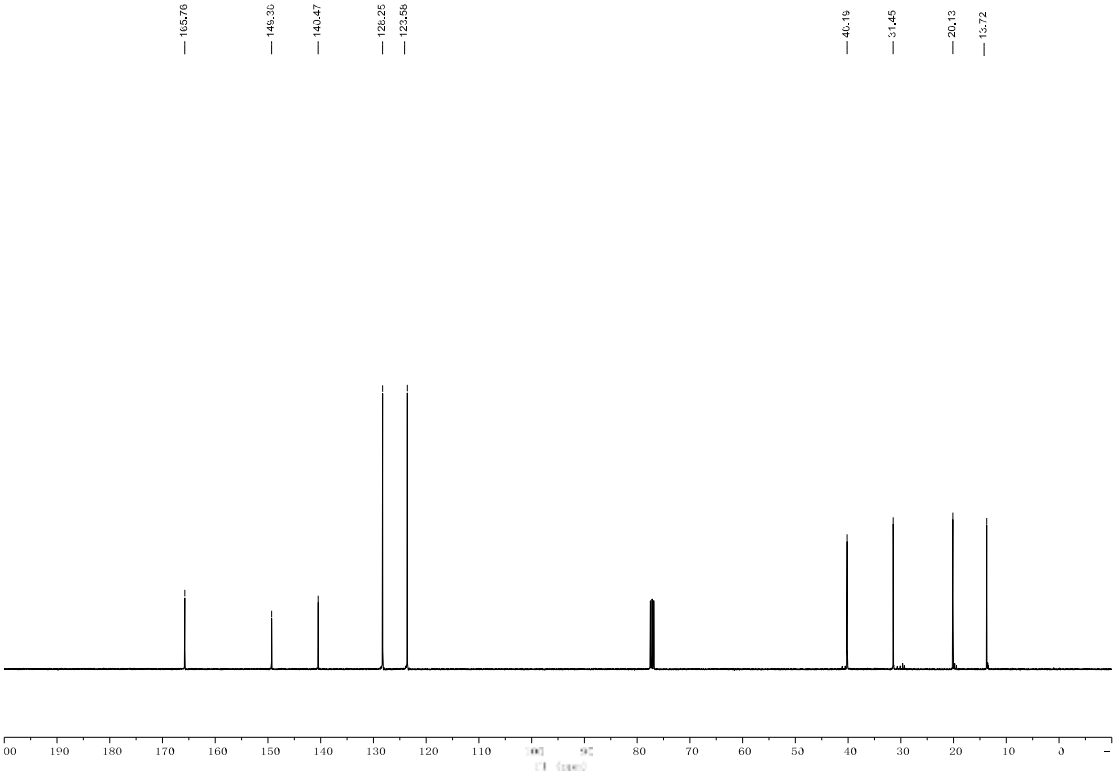
^{13}C NMR spectra for **5e**



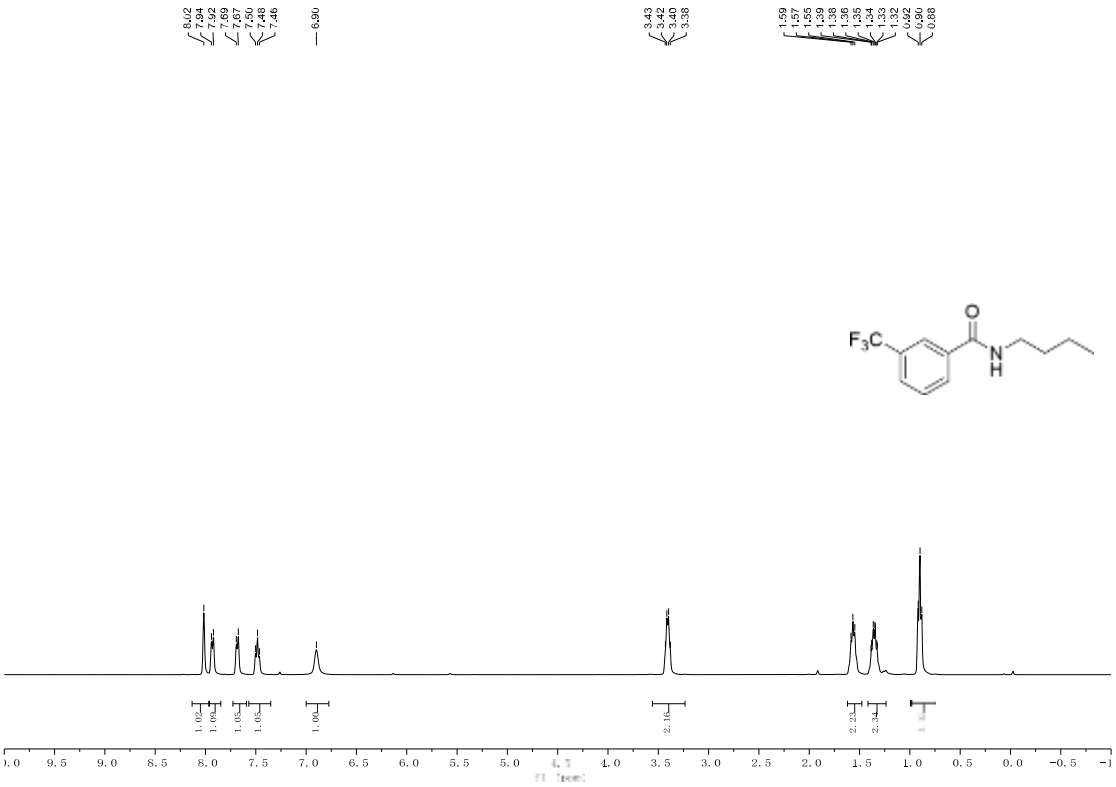
^{1}H NMR spectra for **5f**



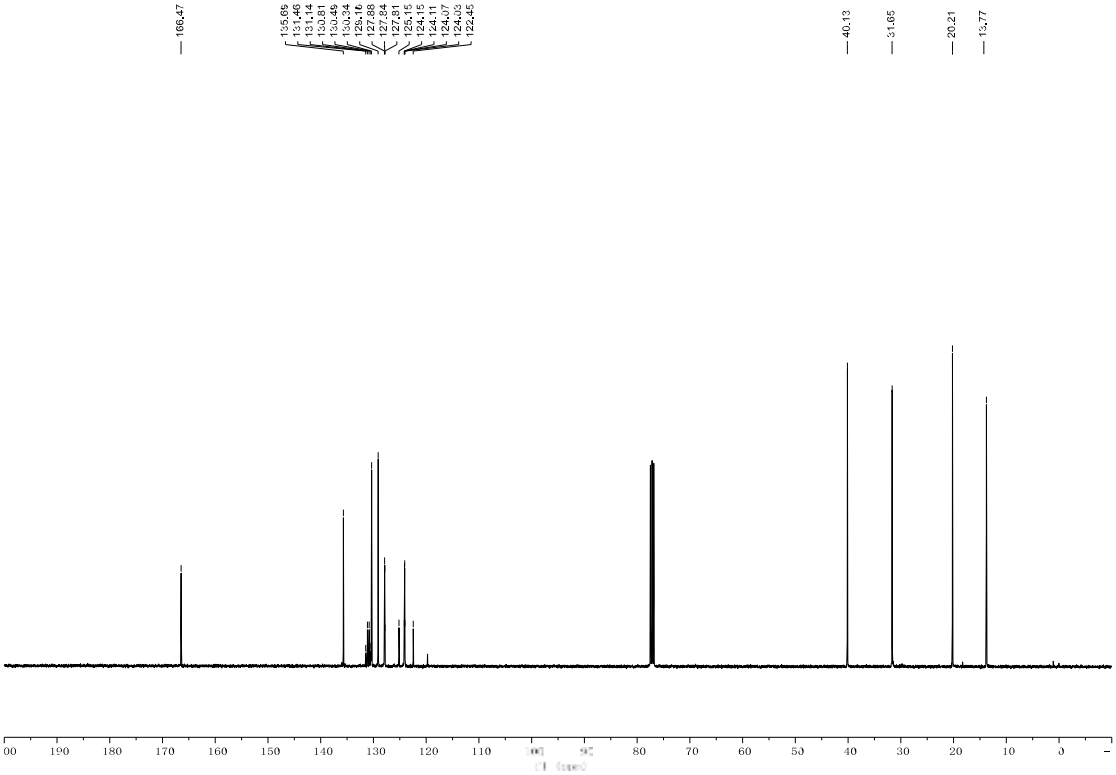
^{13}C NMR spectra for **5f**



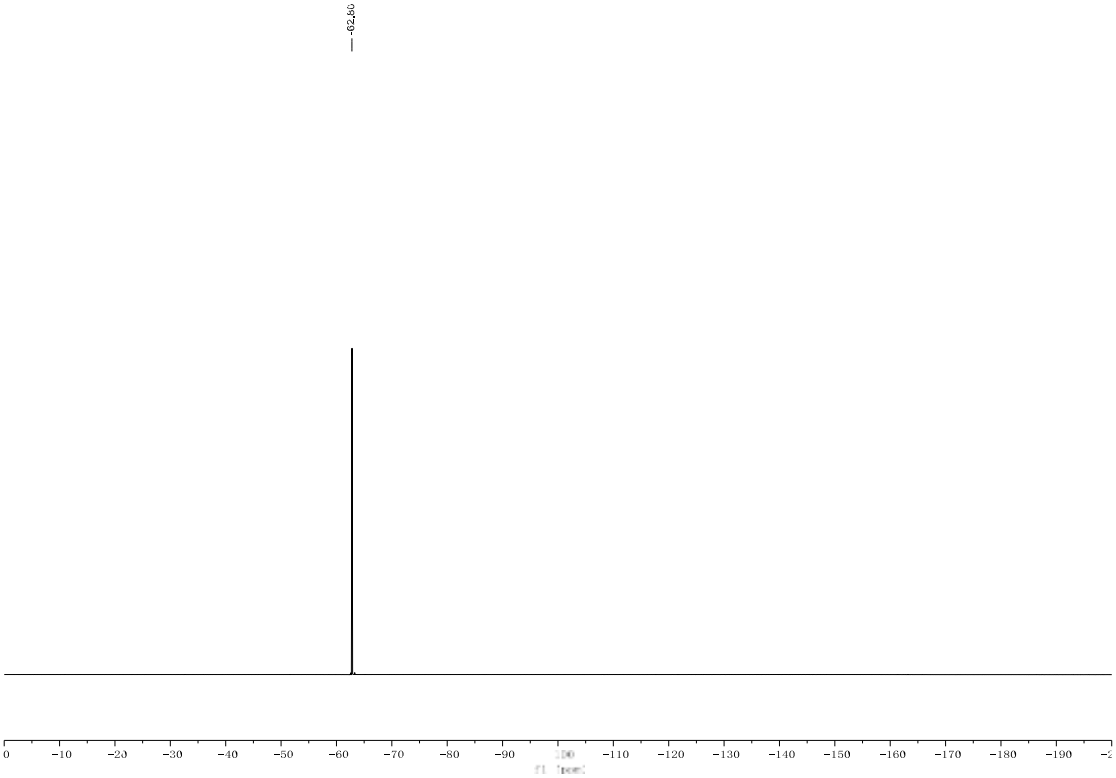
^{1}H NMR spectra for **5g**



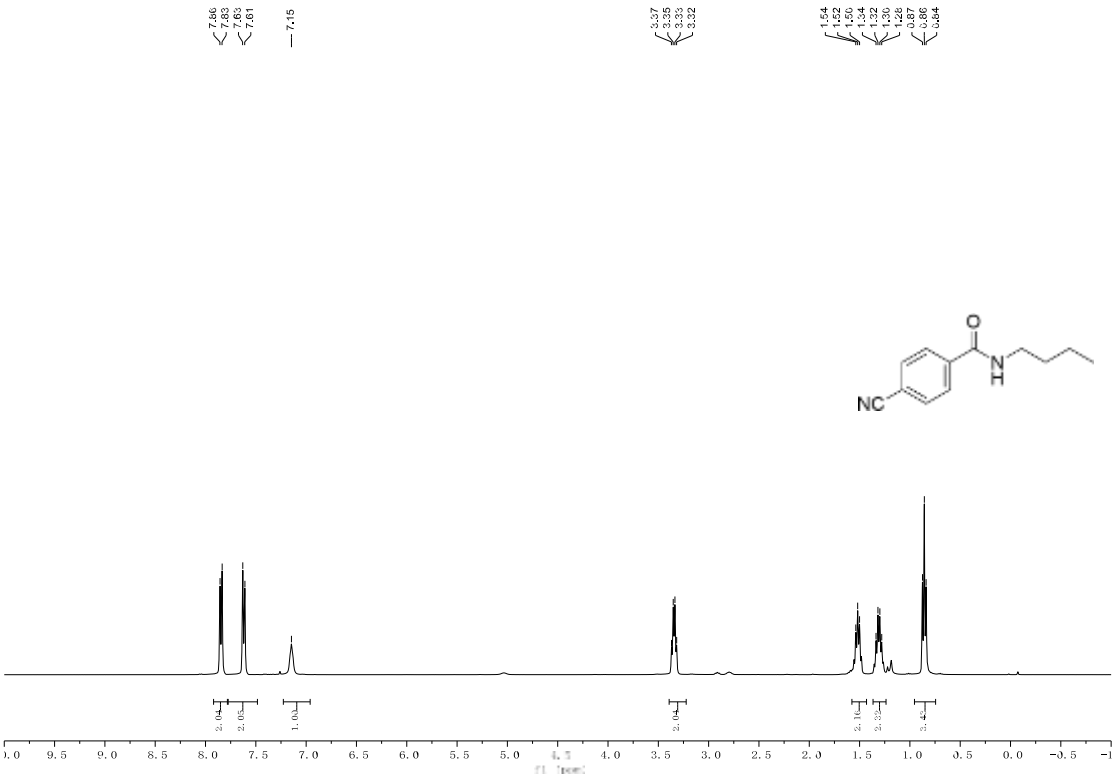
^{13}C NMR spectra for **5g**



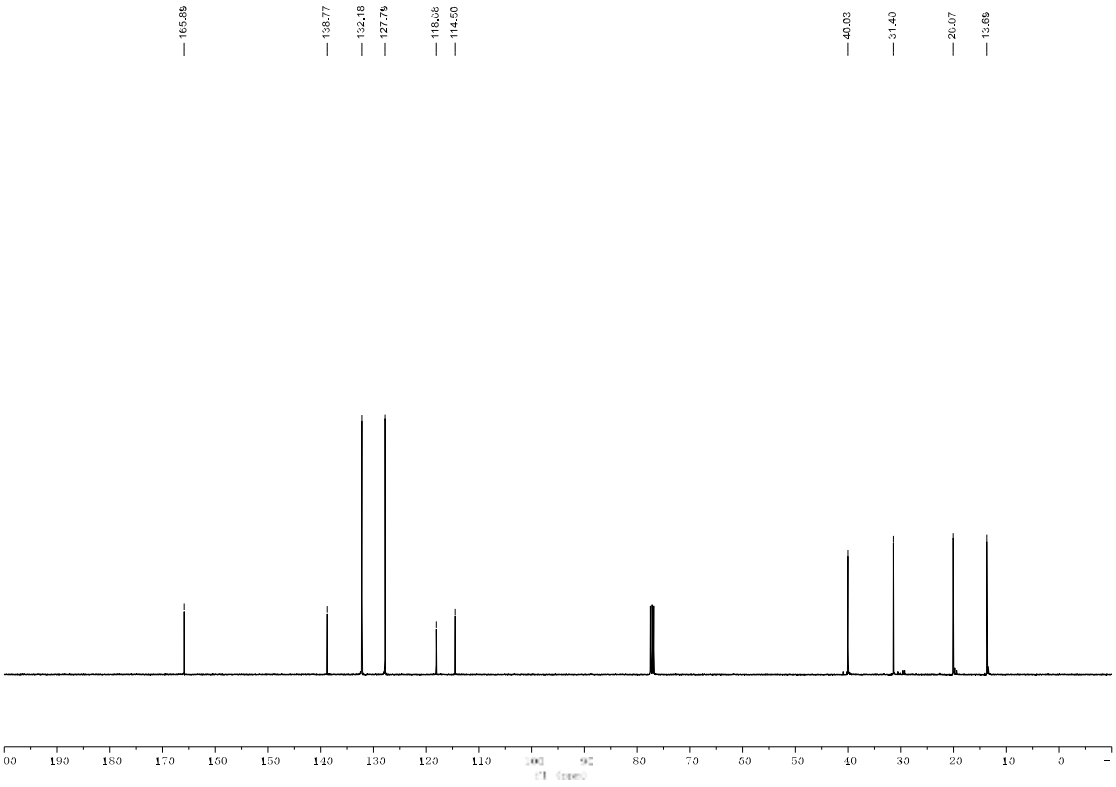
^{19}F NMR spectra for **5g**



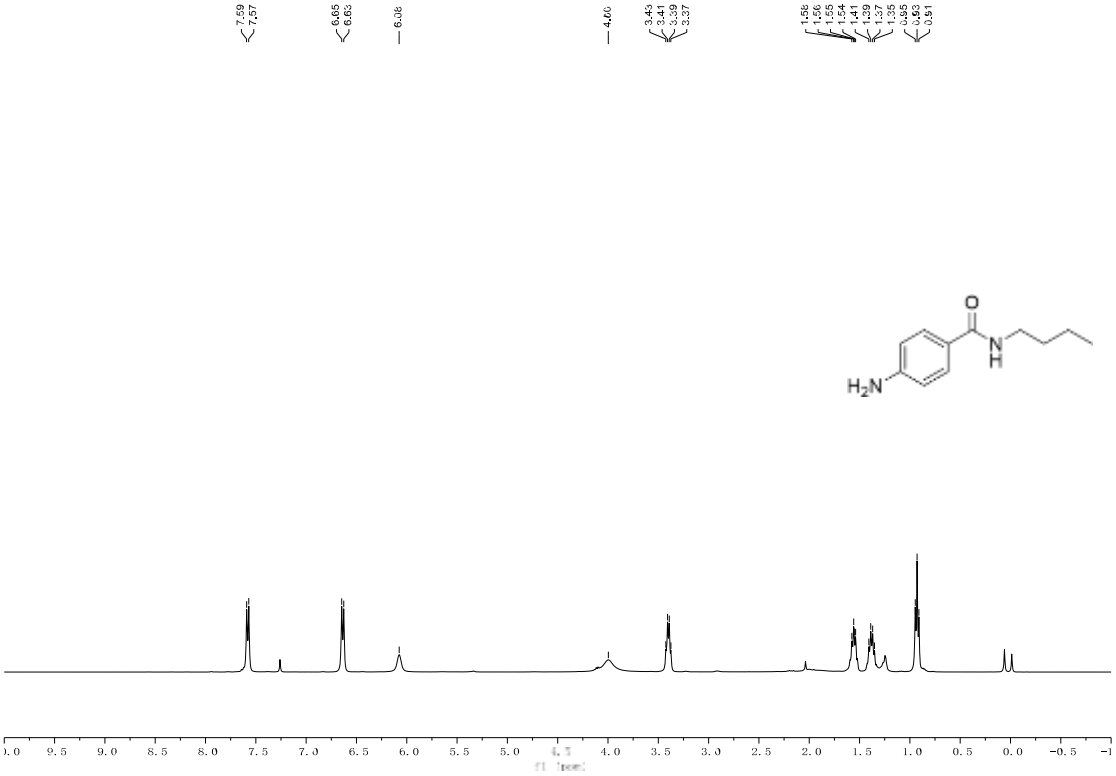
^{1}H NMR spectra for **7h**



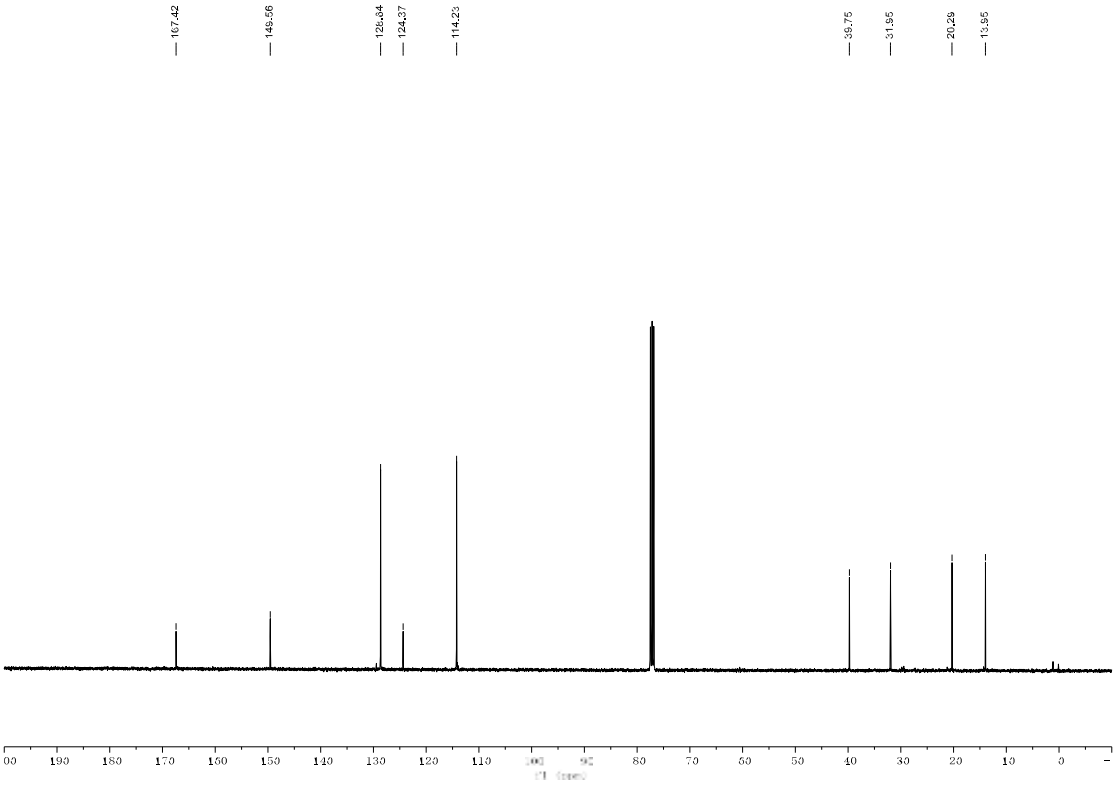
^{13}C NMR spectra for **5h**



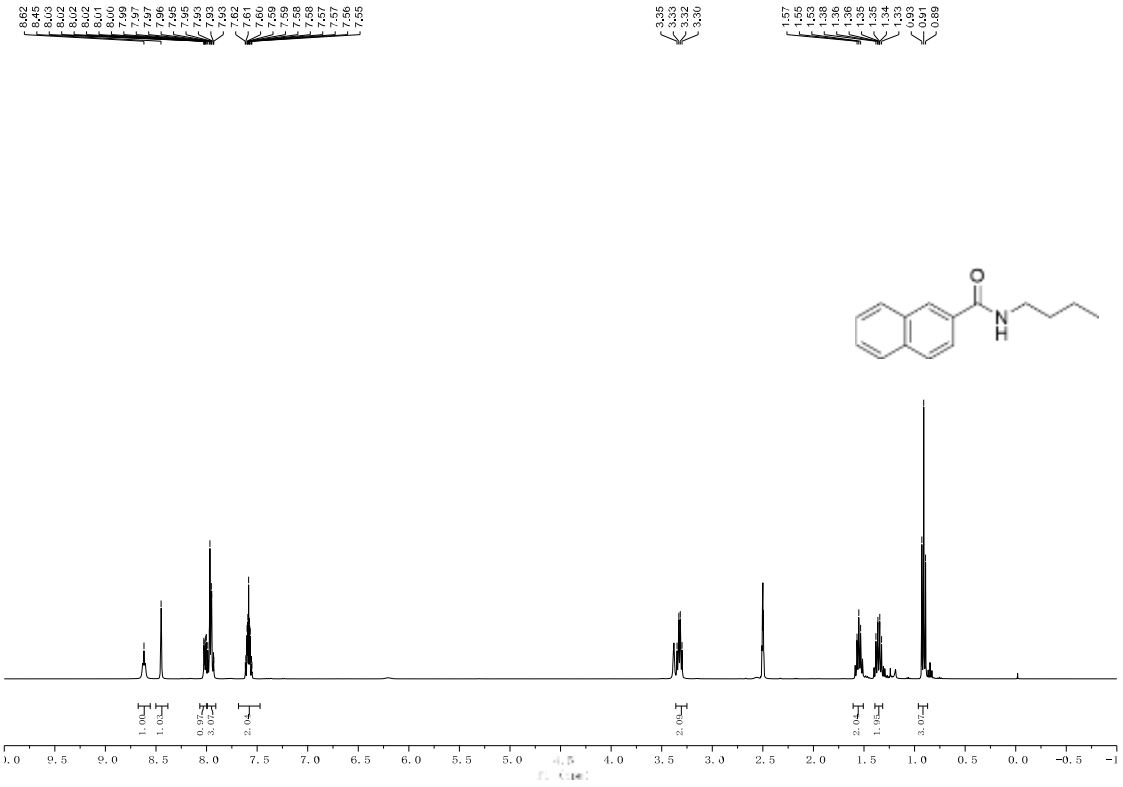
^{1}H NMR spectra for **5i**



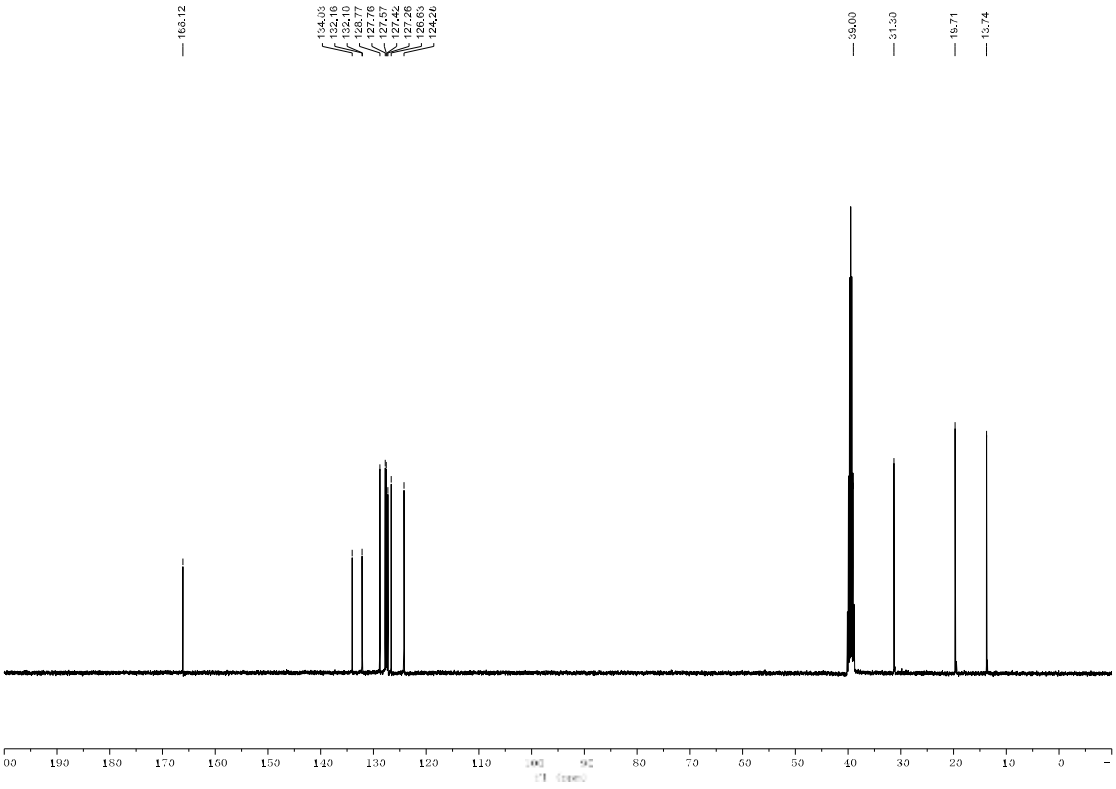
^{13}C NMR spectra for **5i**



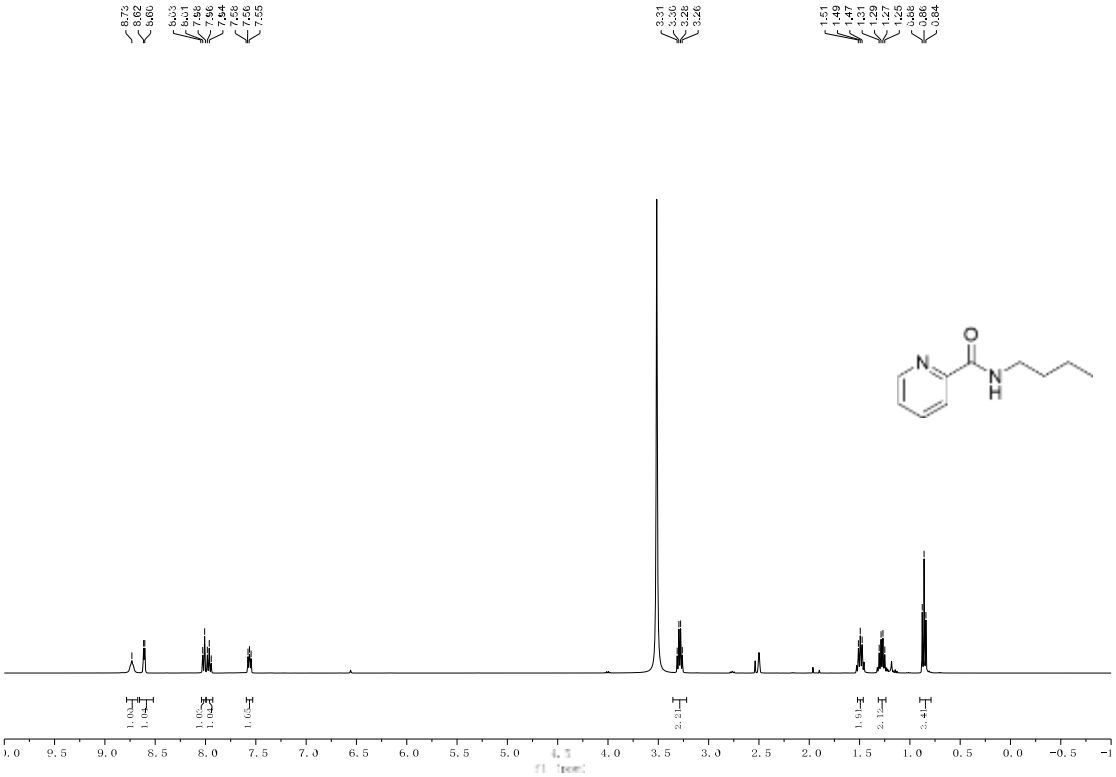
^{1}H NMR spectra for **5j**



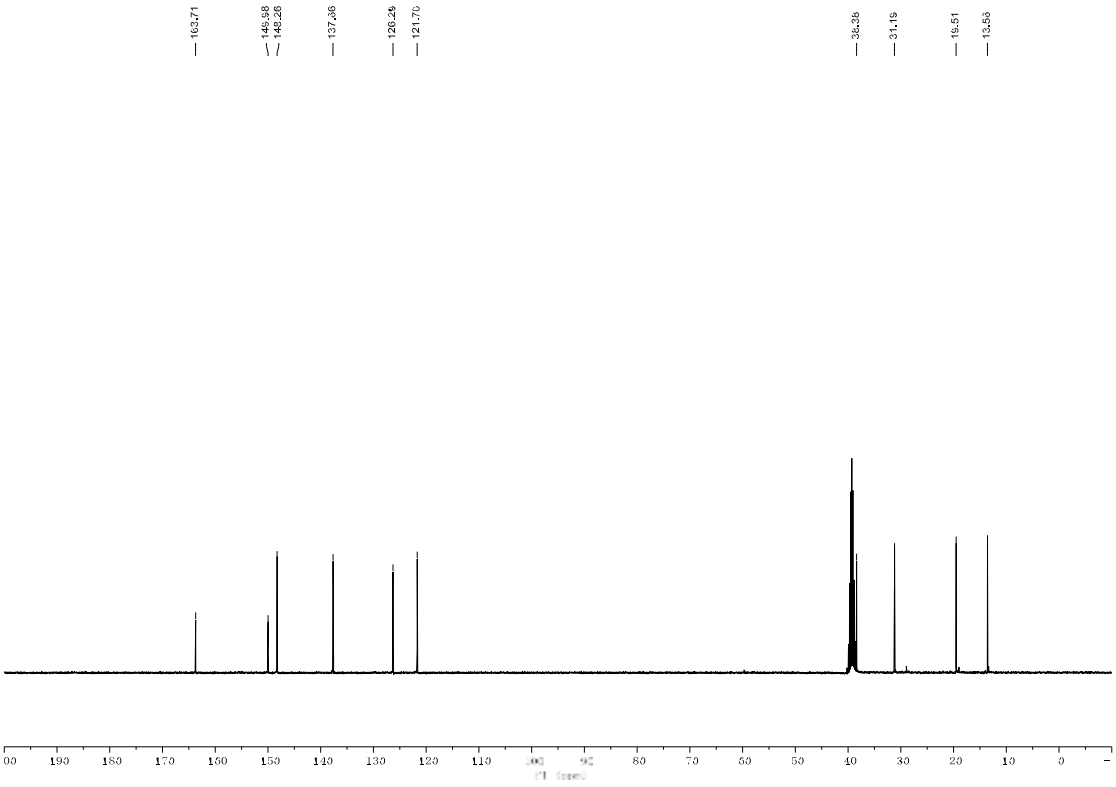
^{13}C NMR spectra for **5j**



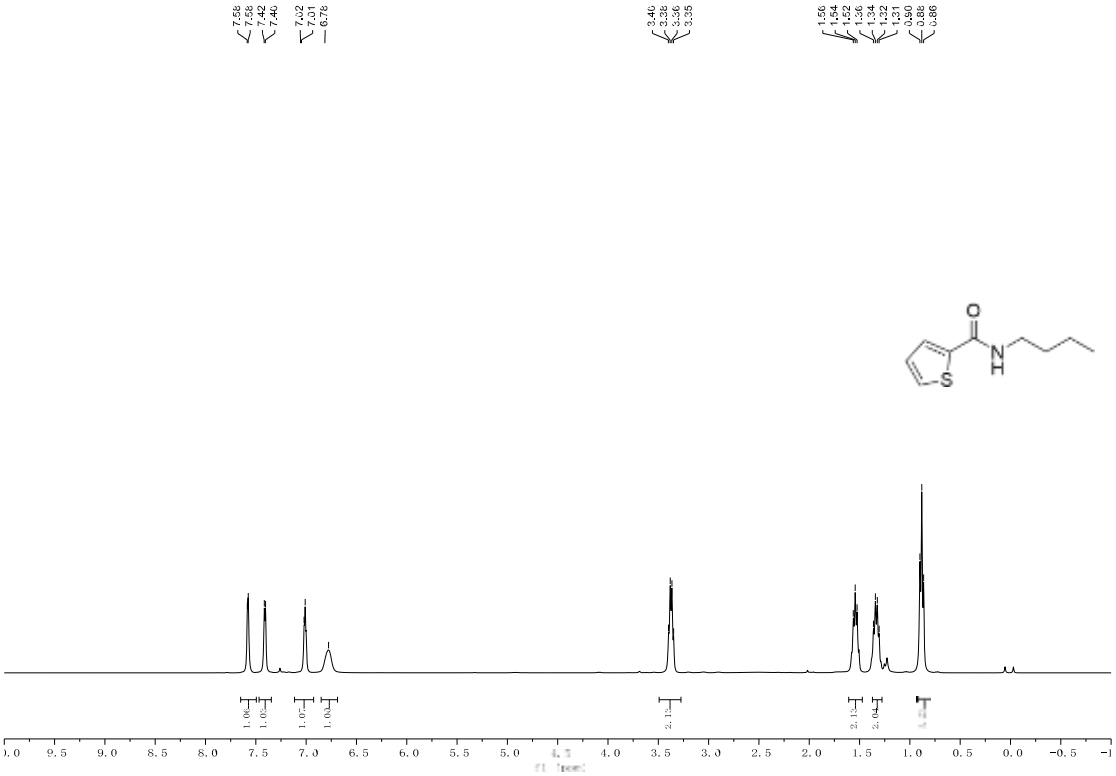
^{1}H NMR spectra for **5k**



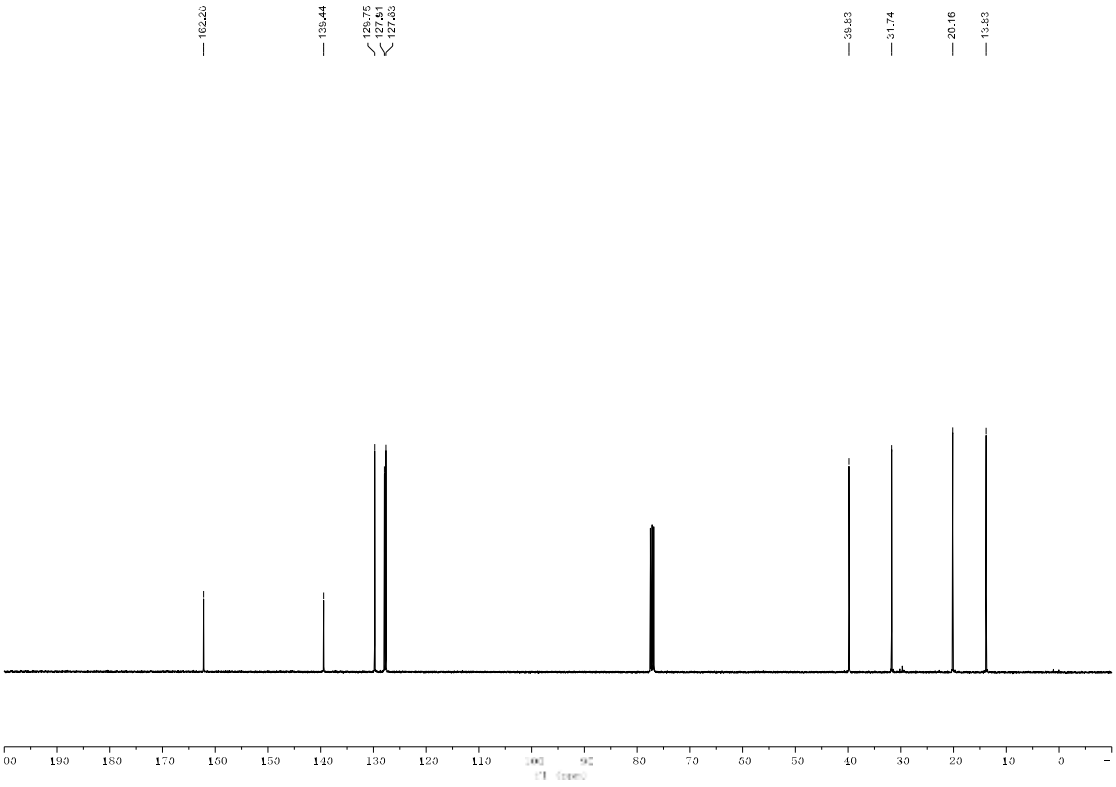
^{13}C NMR spectra for **5k**



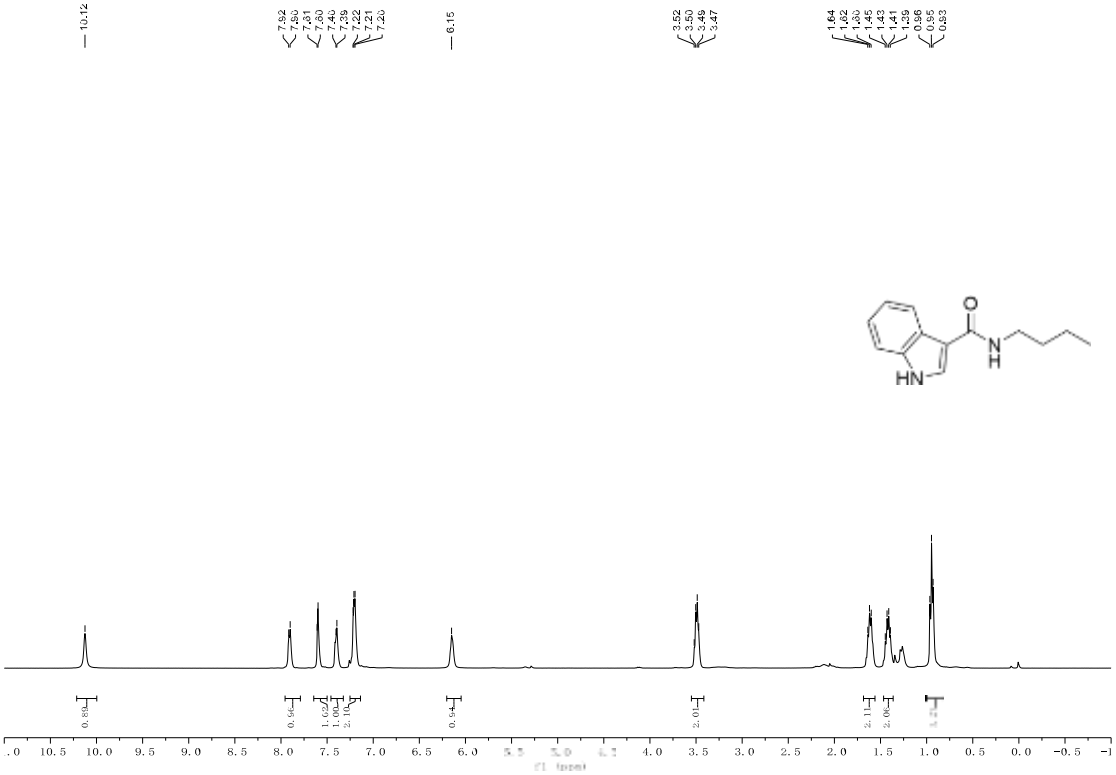
^{1}H NMR spectra for **5l**



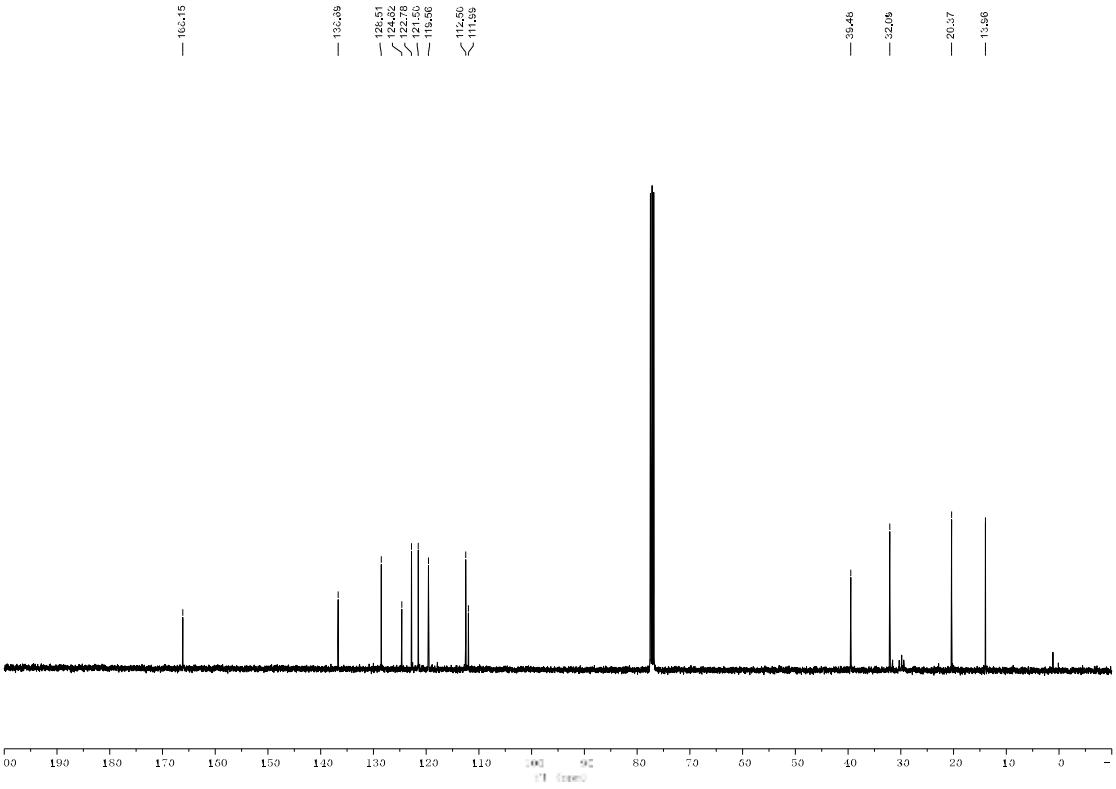
^{13}C NMR spectra for **5l**



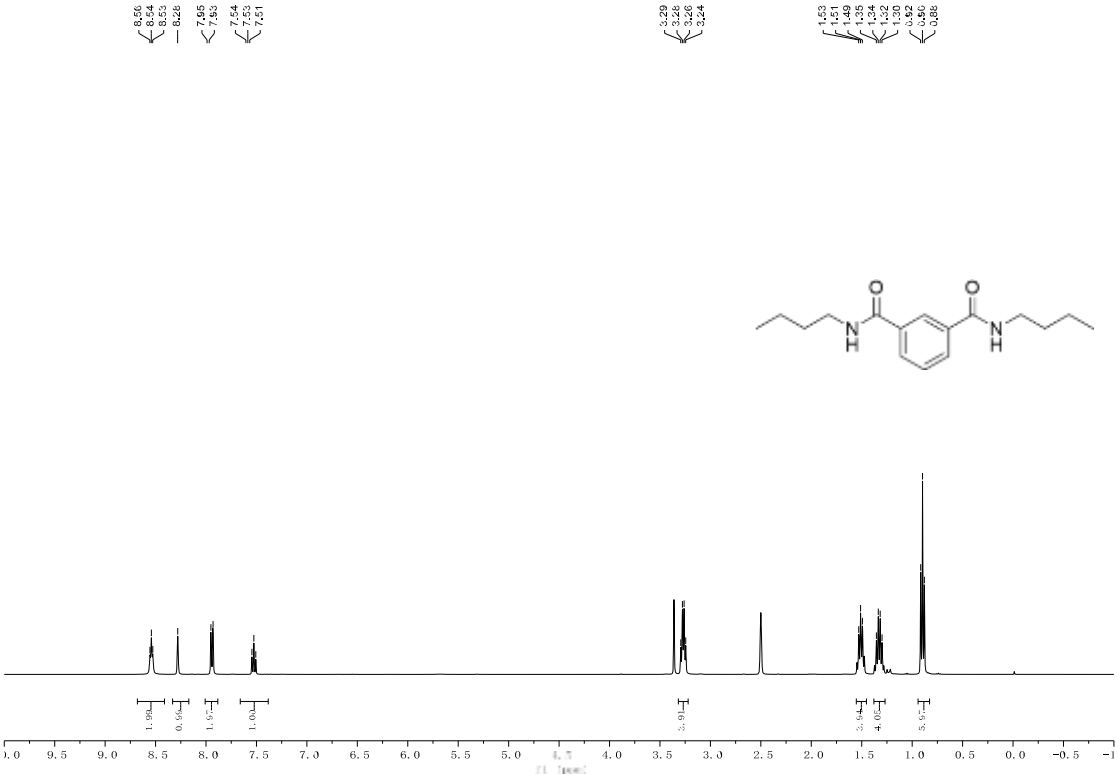
^{1}H NMR spectra for **5m**



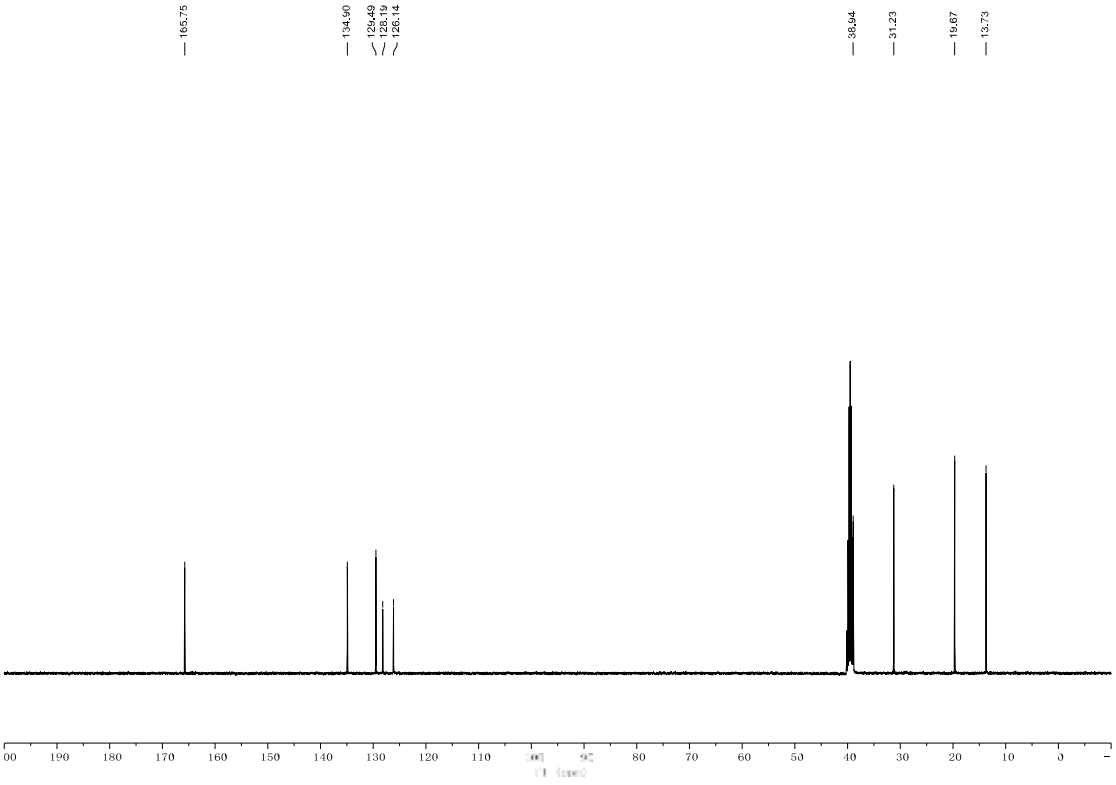
^{13}C NMR spectra for **5m**



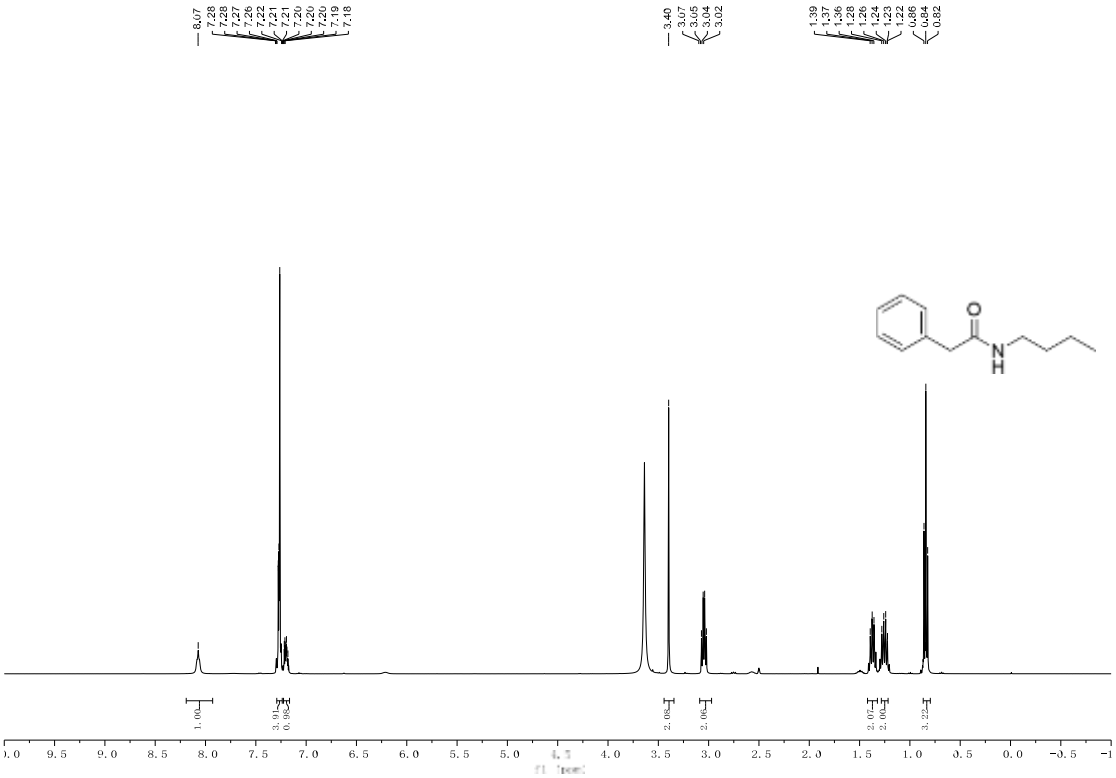
^{1}H NMR spectra for **5n**



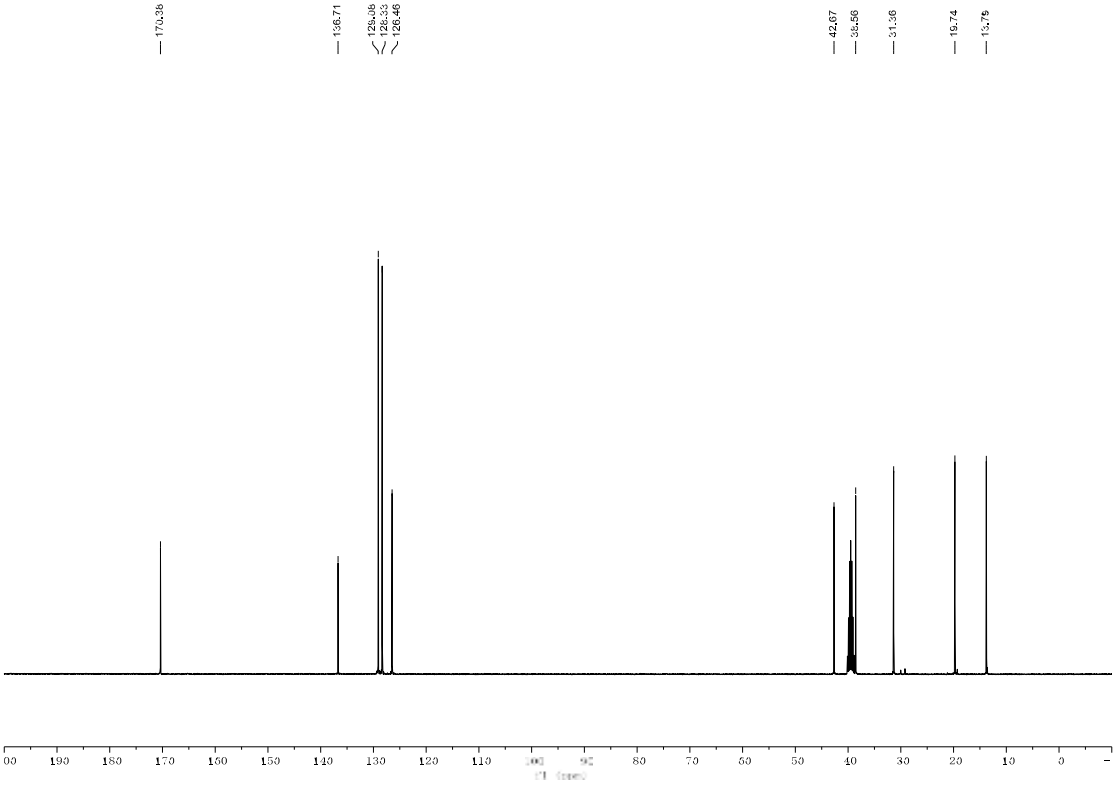
^{13}C NMR spectra for **5n**



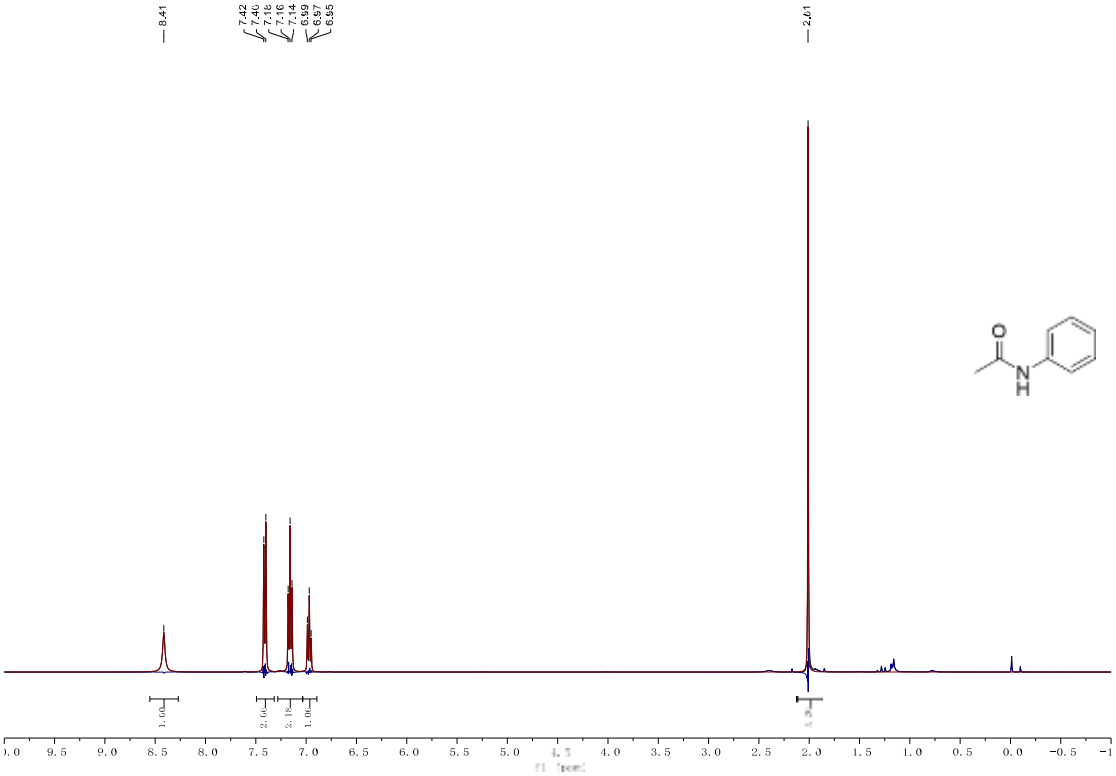
^{1}H NMR spectra for **5o**



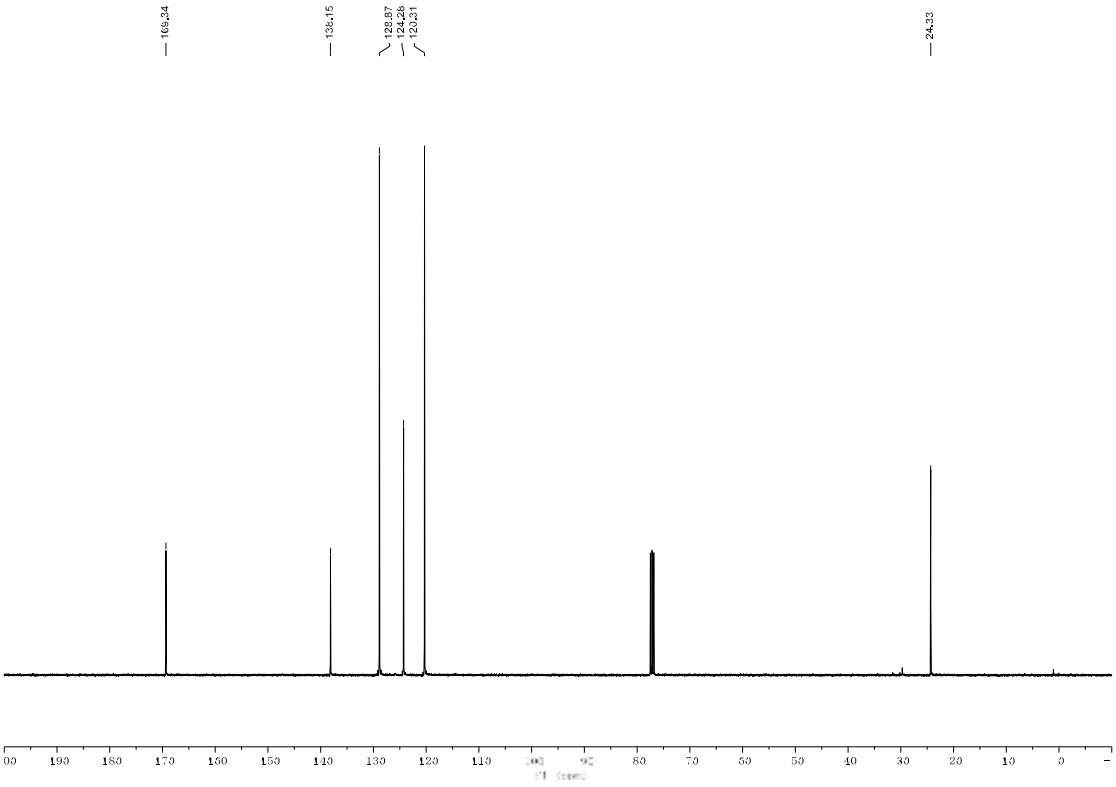
^{13}C NMR spectra for **5o**



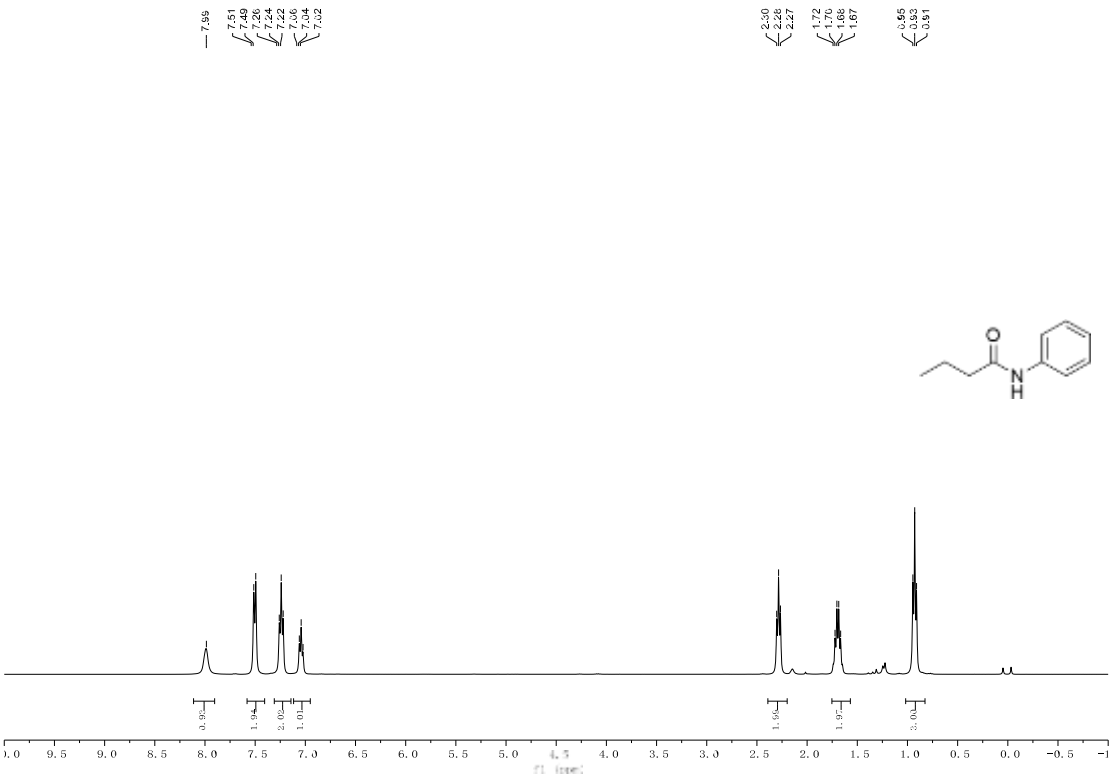
^{1}H NMR spectra for **5p**



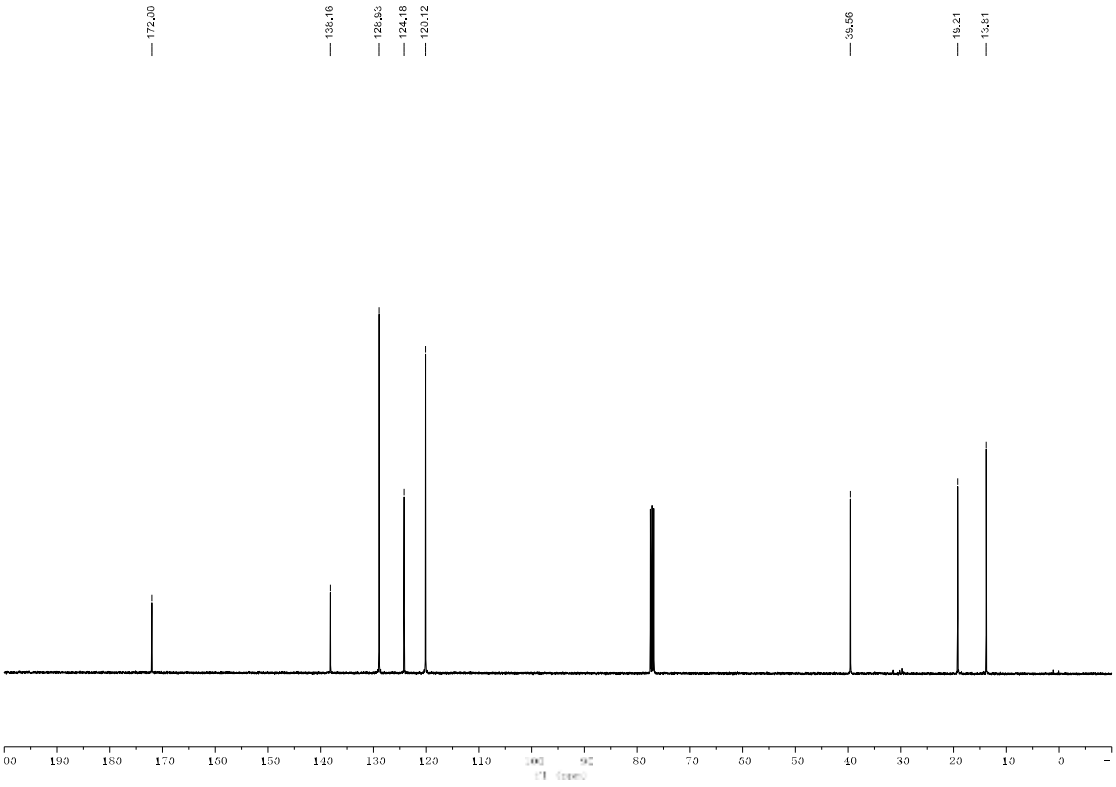
^{13}C NMR spectra for **5p**



^{1}H NMR spectra for **5q**



^{13}C NMR spectra for **5q**



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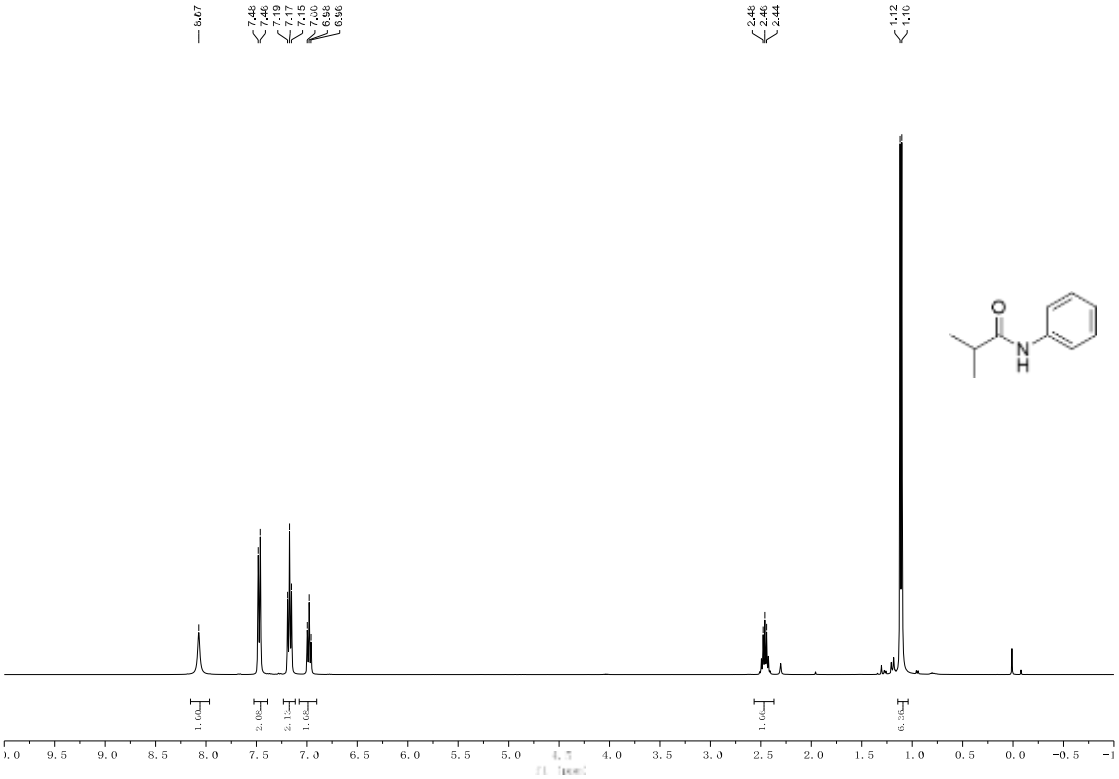
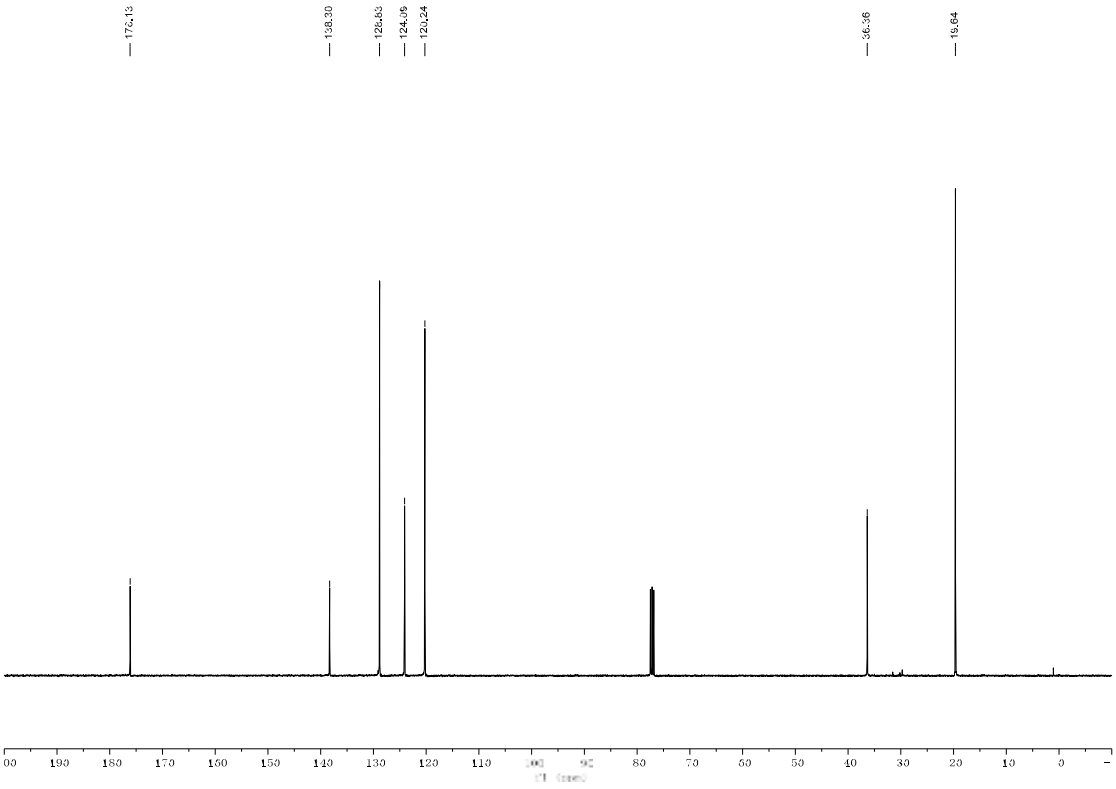


^{13}C NMR spectra for **5r**



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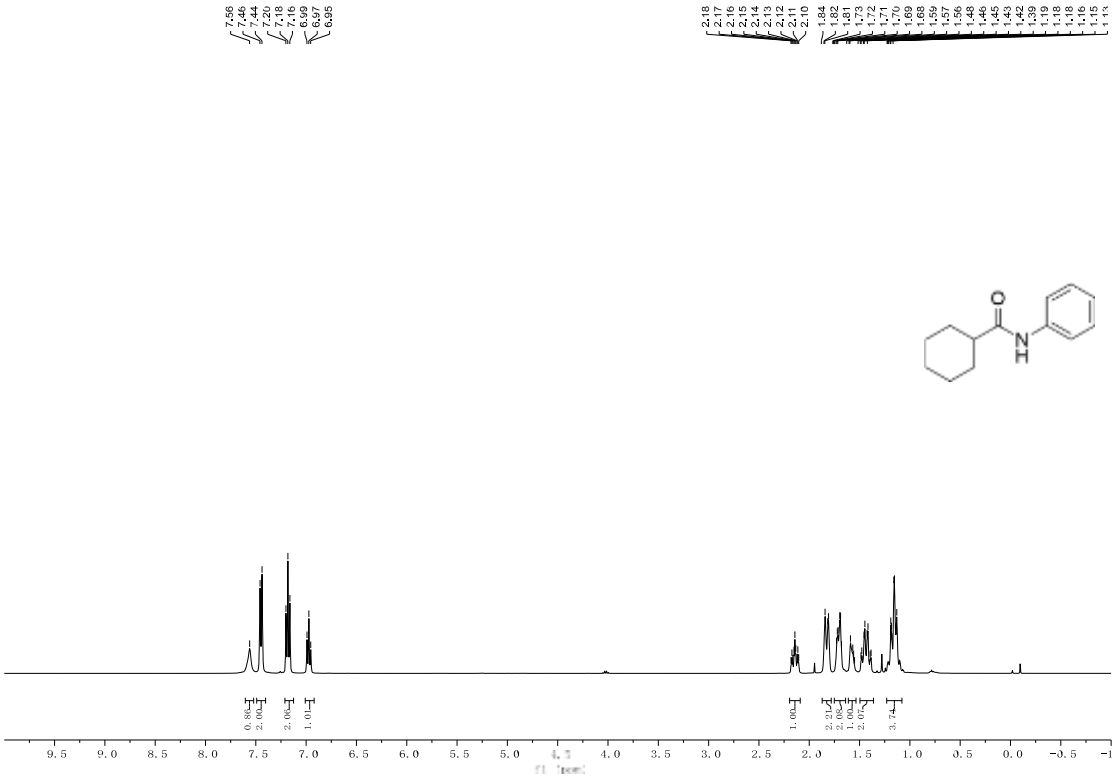
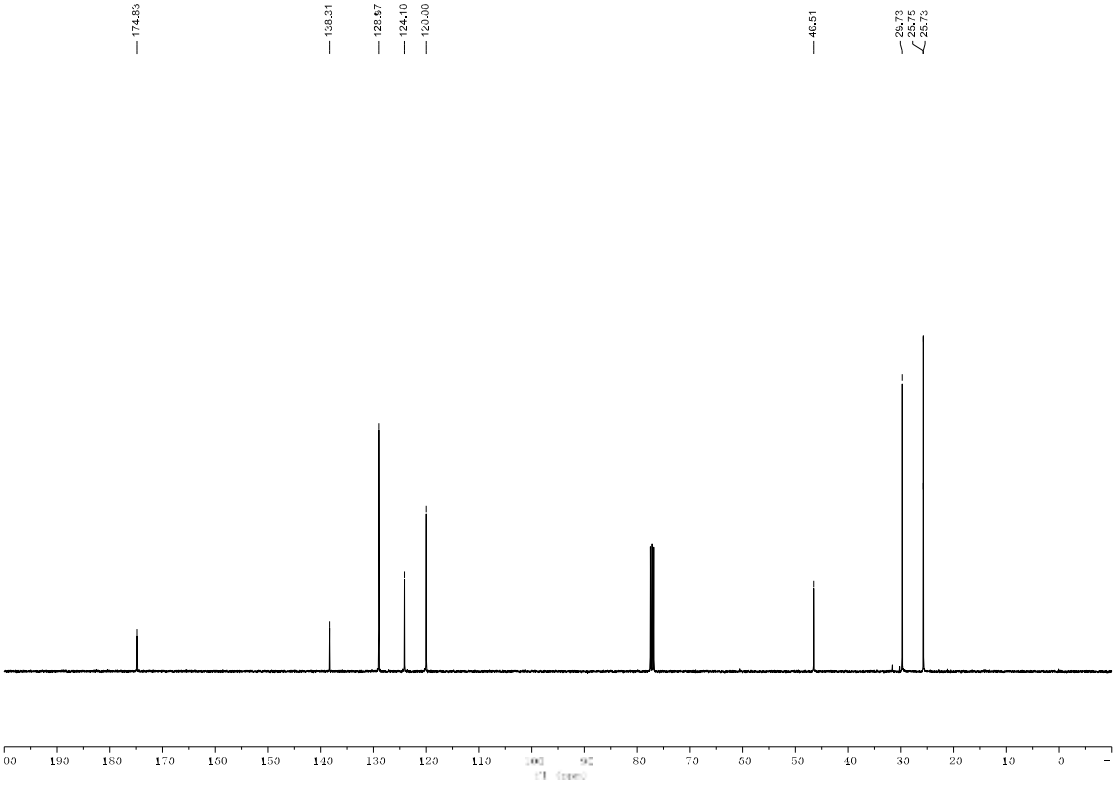
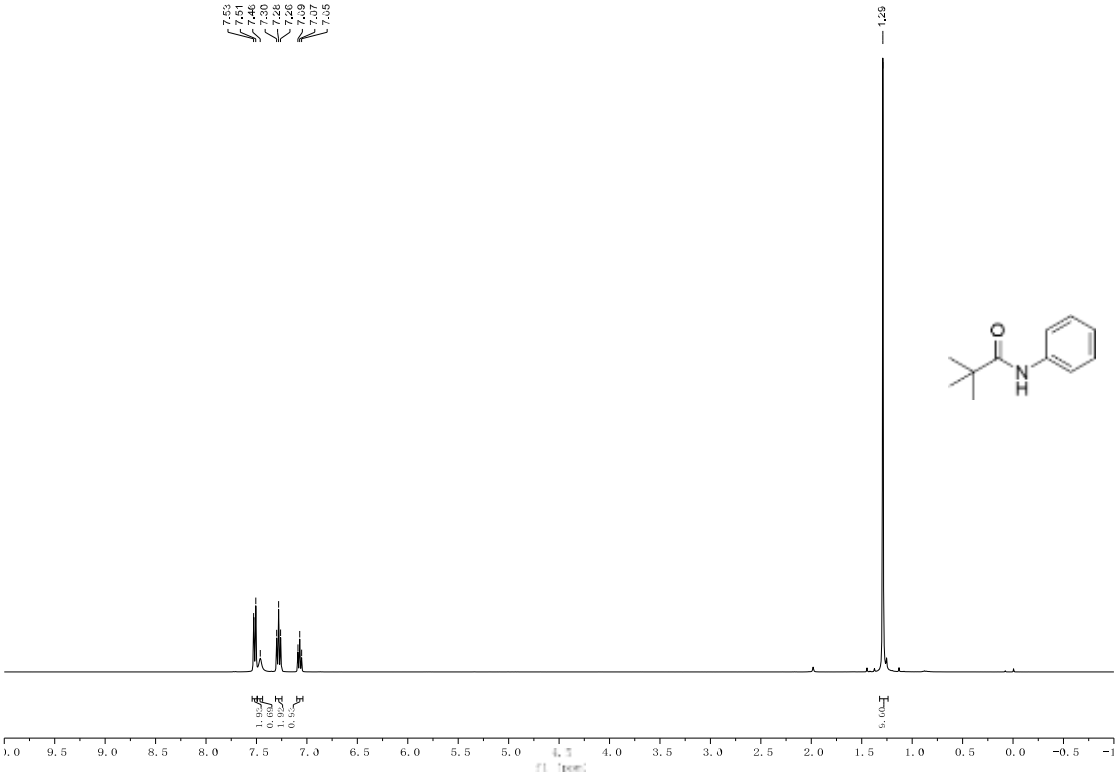


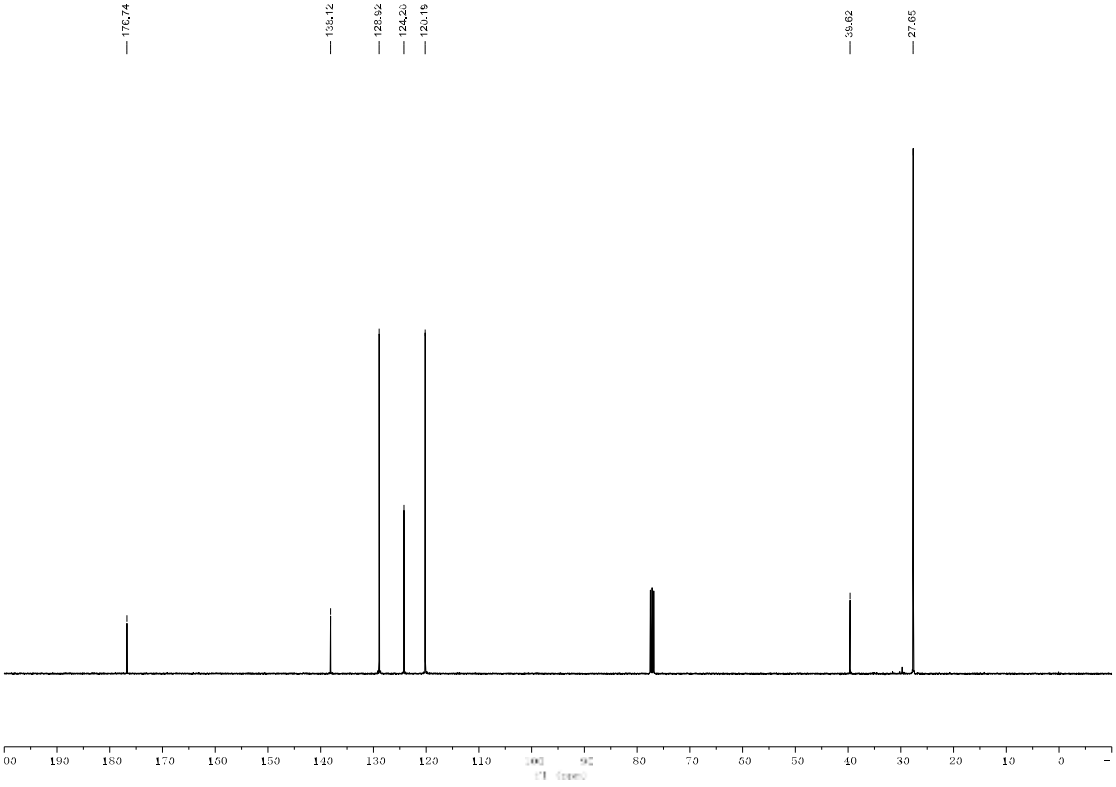
^{13}C NMR spectra for **5s**



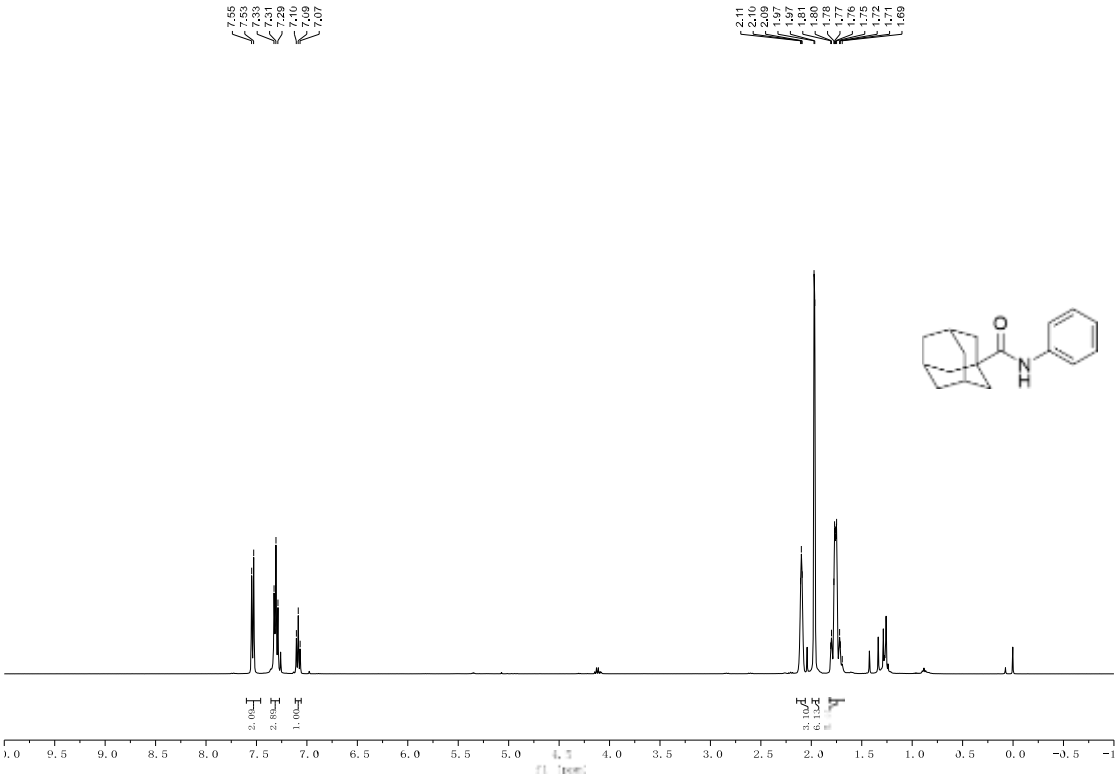
^{1}H NMR spectra for **5t**



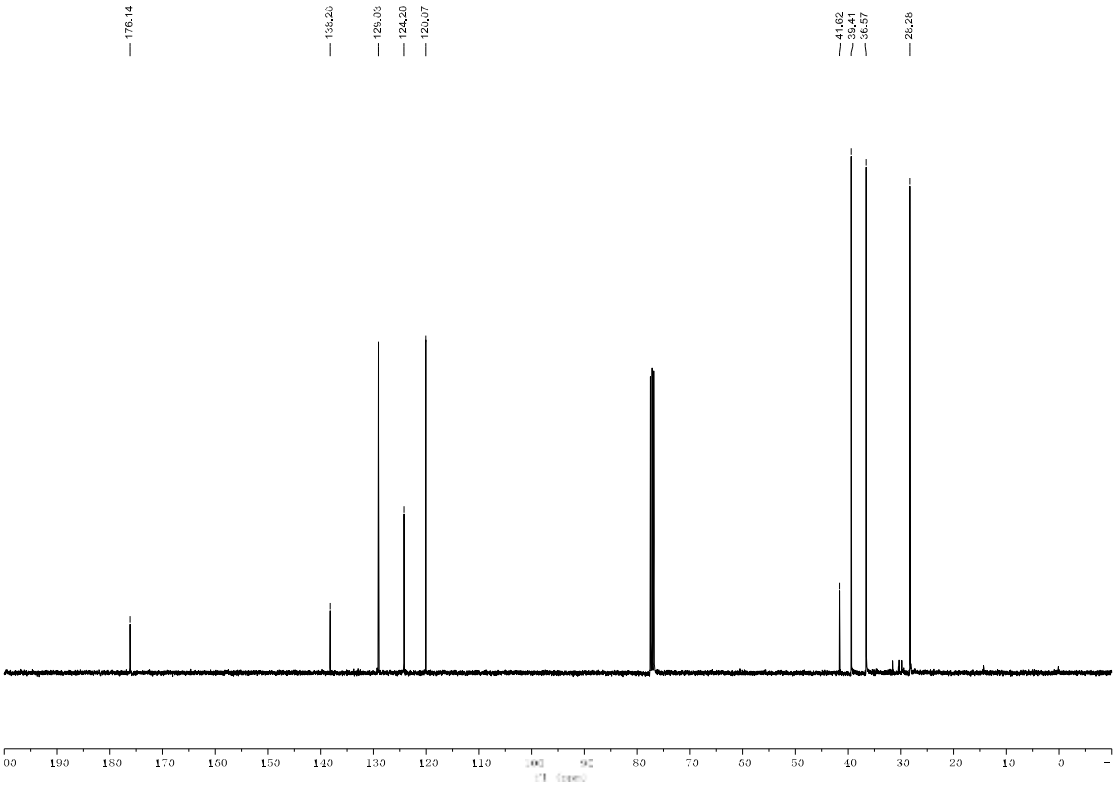
^{13}C NMR spectra for **5t**



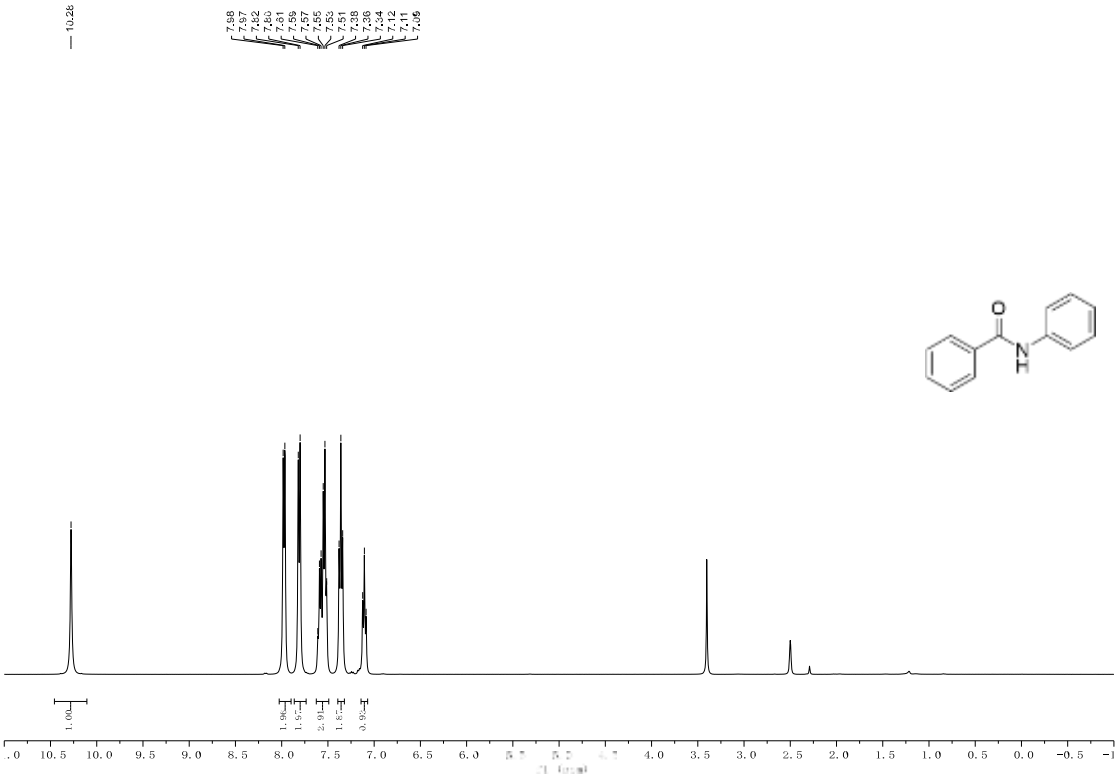
^{1}H NMR spectra for **5u**



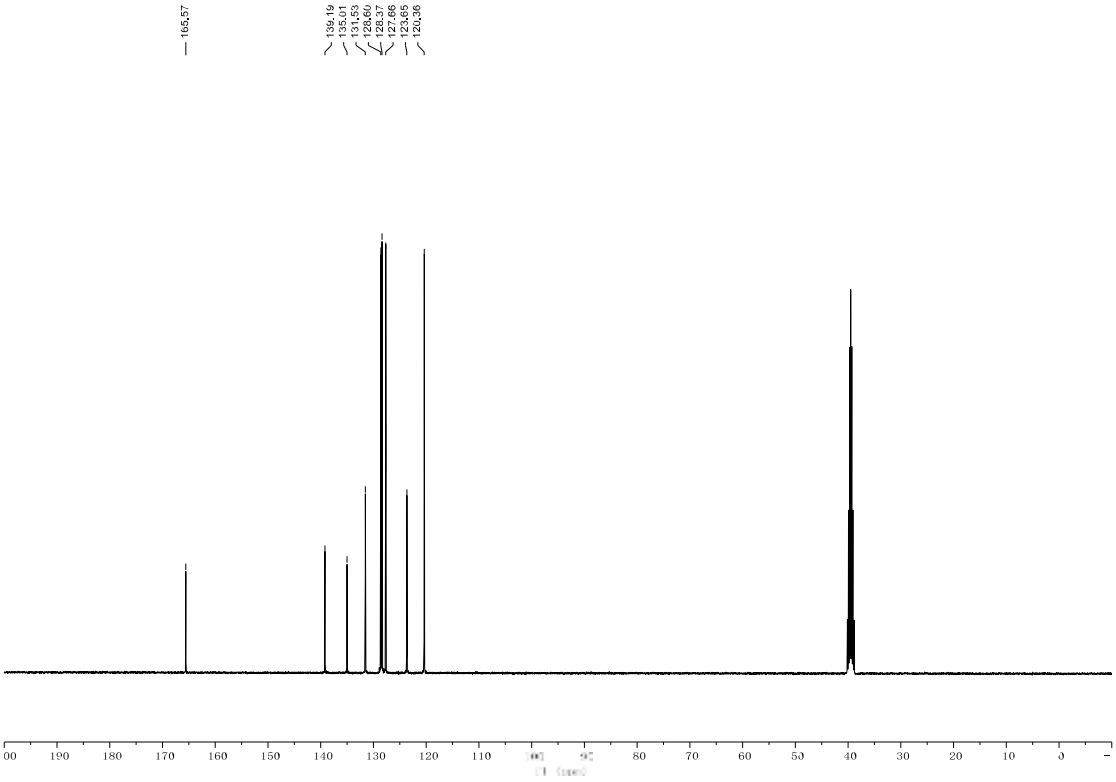
^{13}C NMR spectra for **5u**



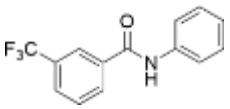
^{1}H NMR spectra for **5v**

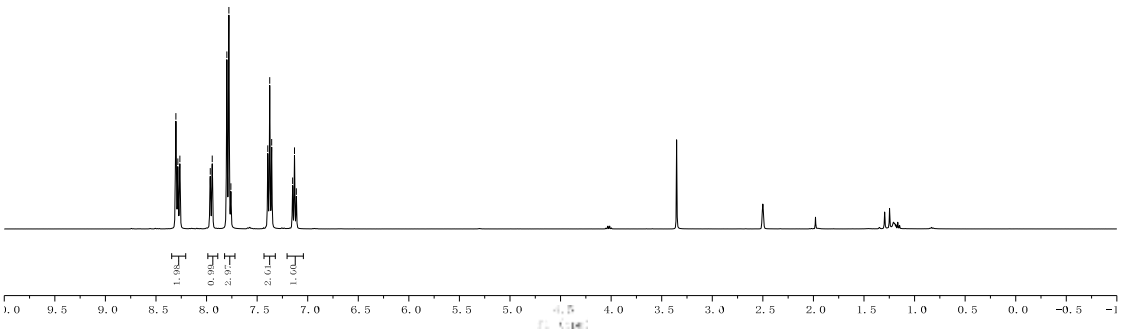


^{13}C NMR spectra for **5v**



^{1}H NMR spectra for **5w**





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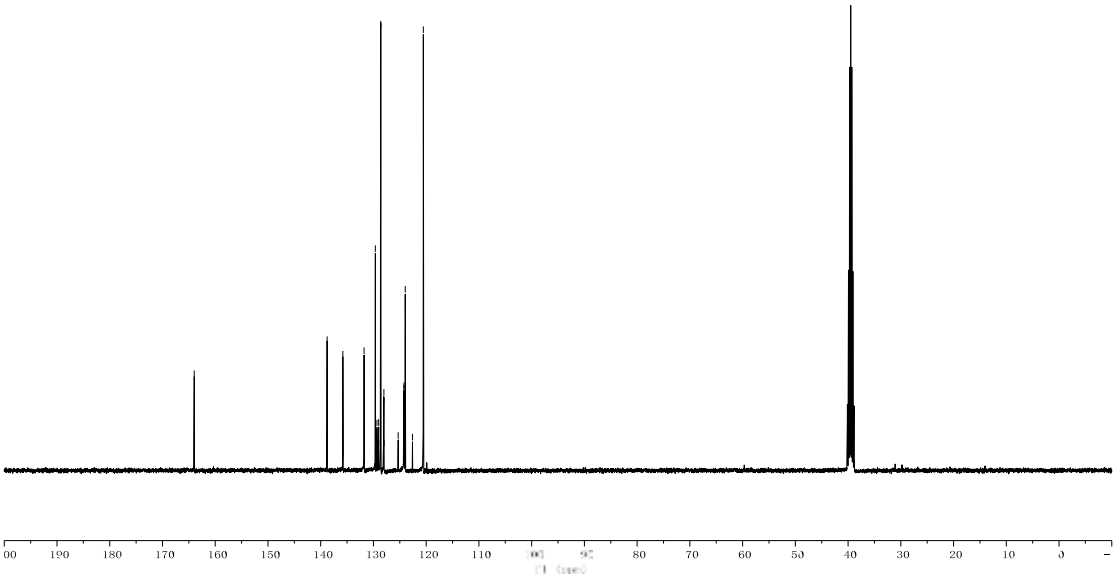
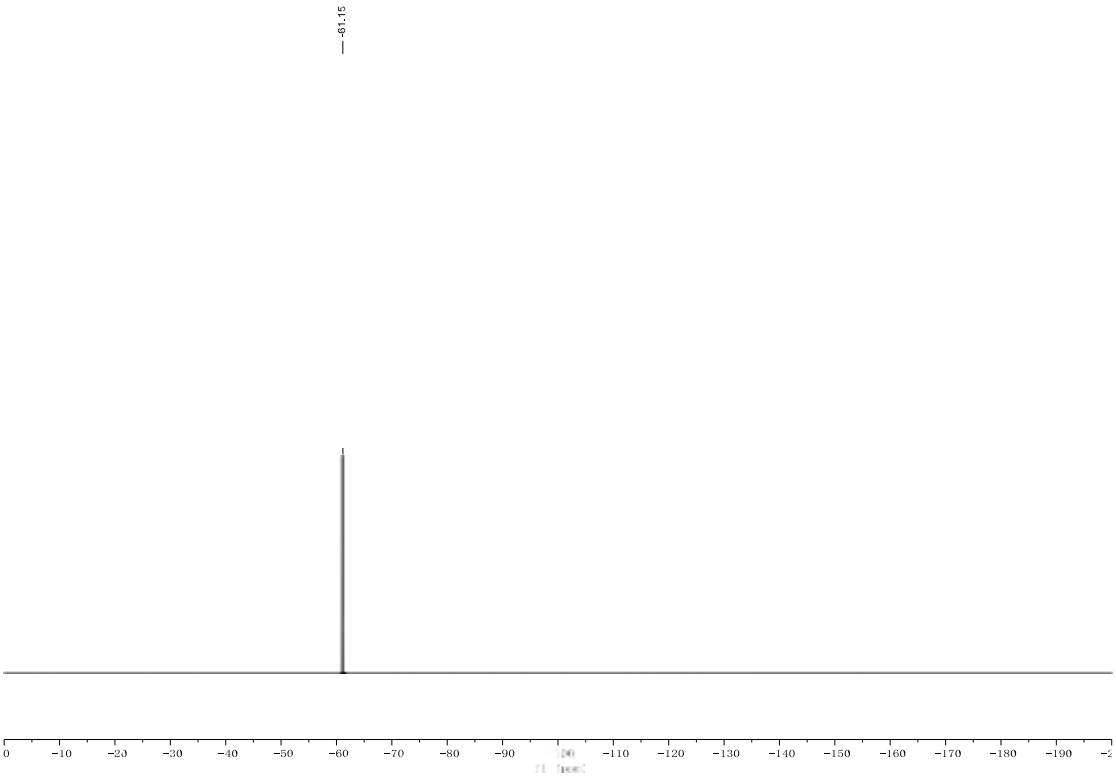


^{19}F NMR spectra for **5w**



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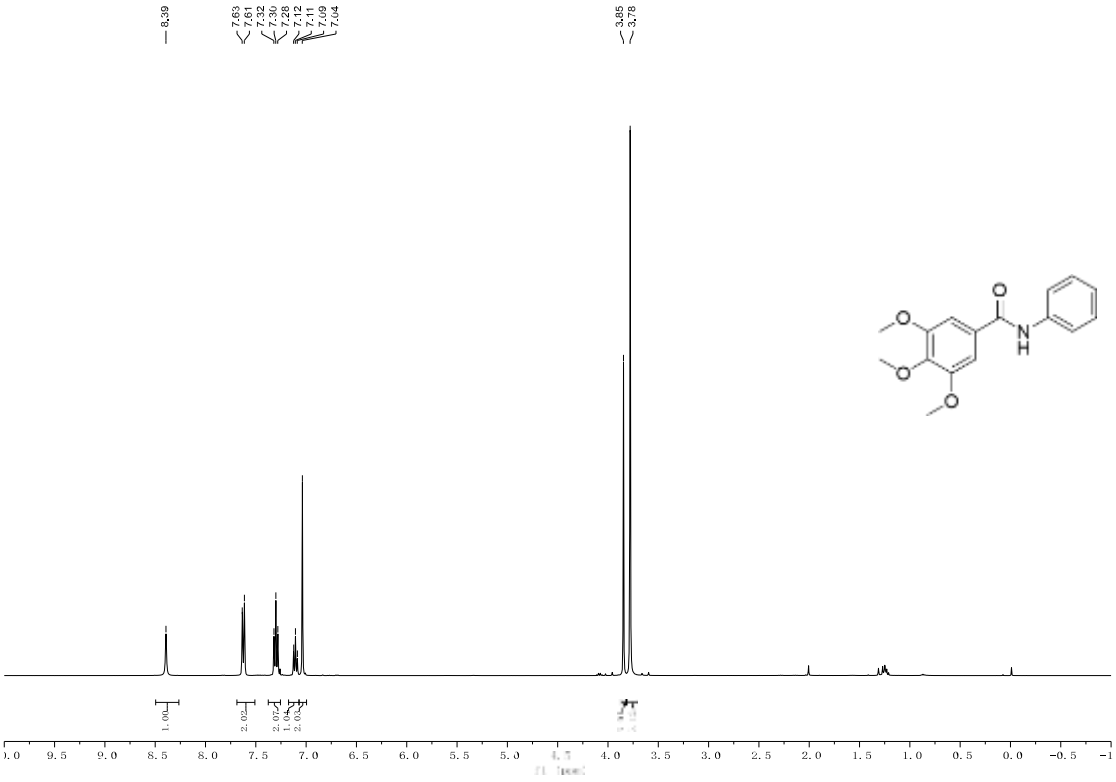
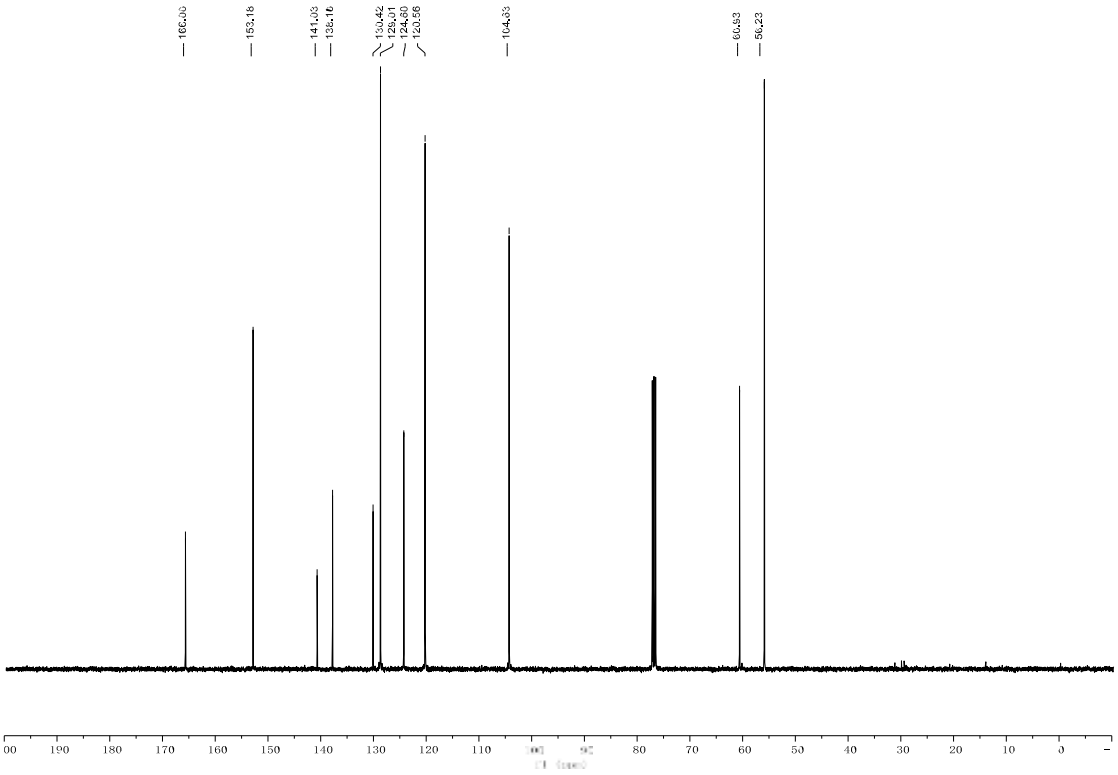
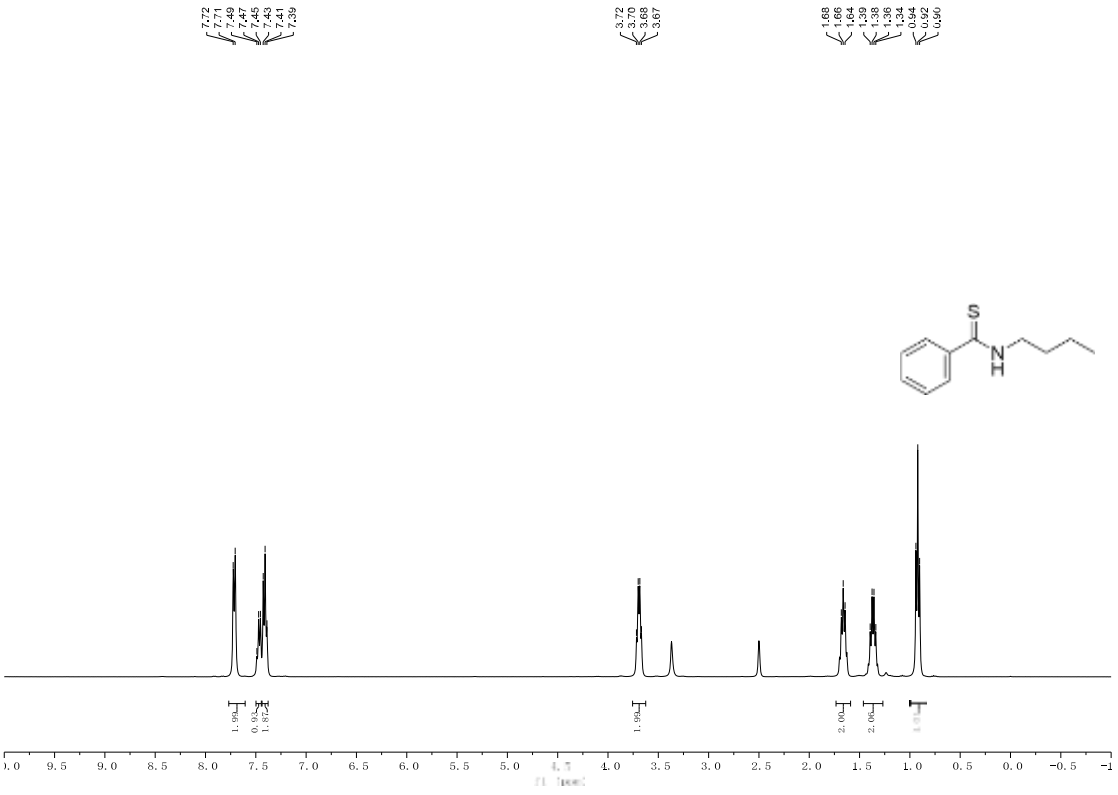


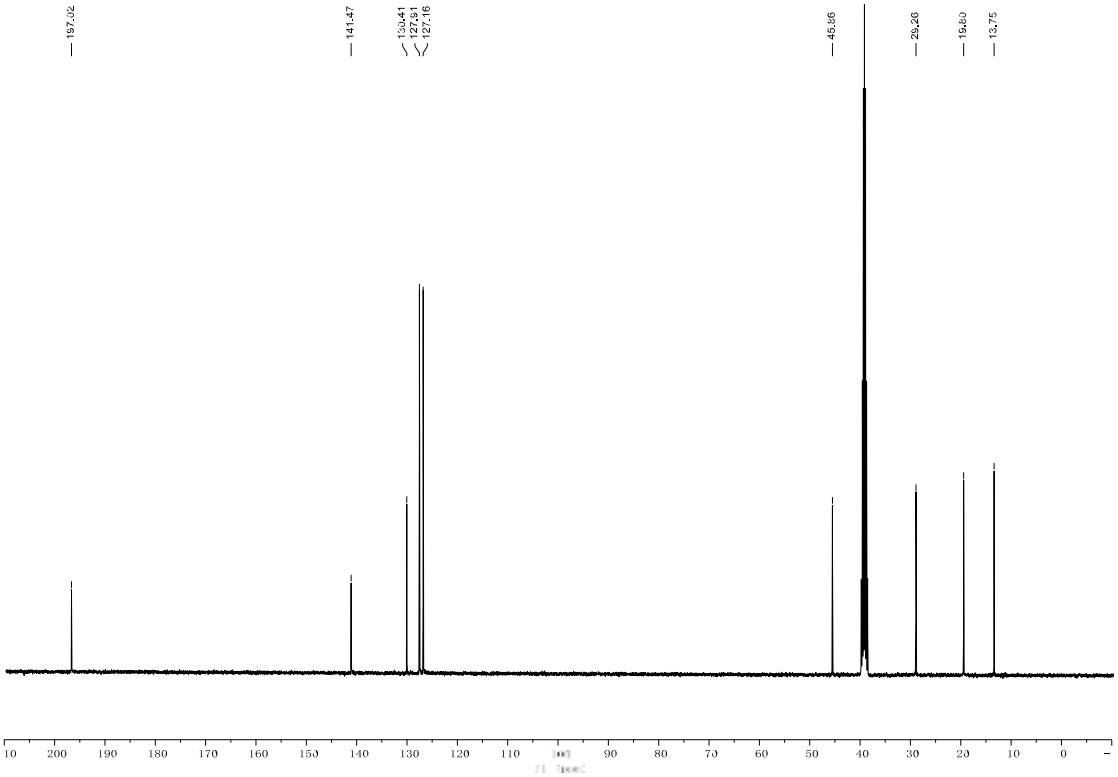
^{13}C NMR spectra for **5x**



^{1}H NMR spectra for **5y**



^{13}C NMR spectra for **5y**



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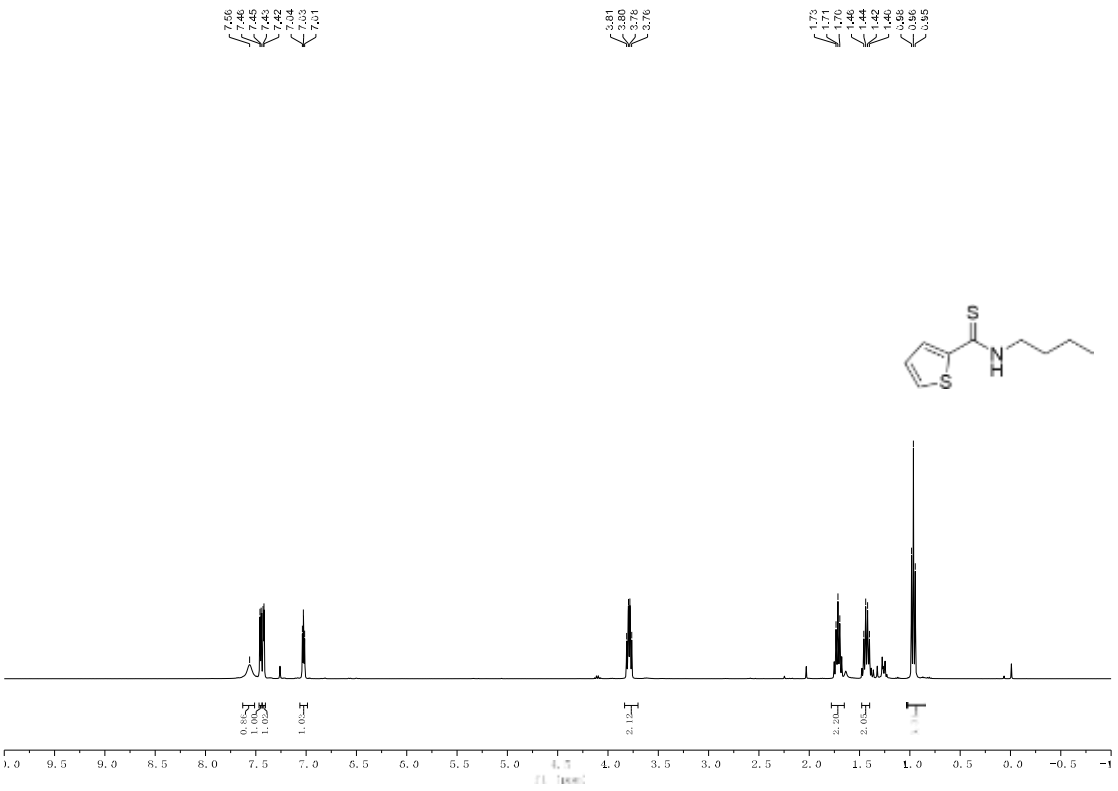
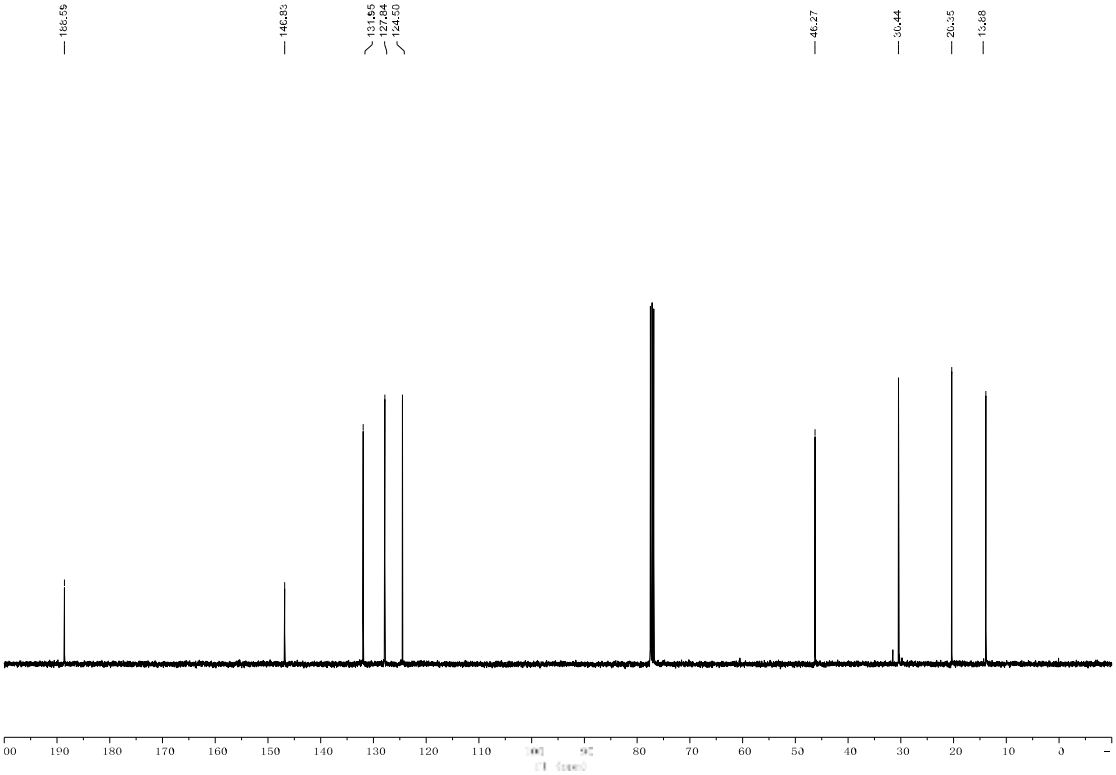
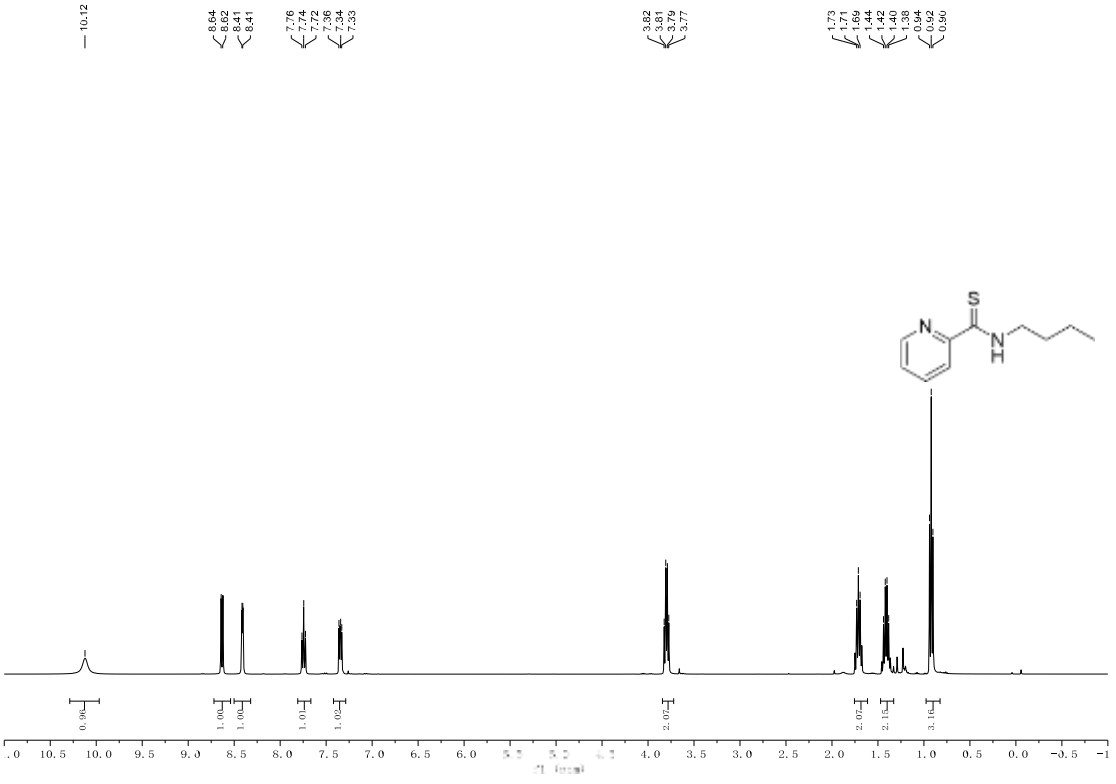


^{13}C NMR spectra for **5z**



^{1}H NMR spectra for **5aa**



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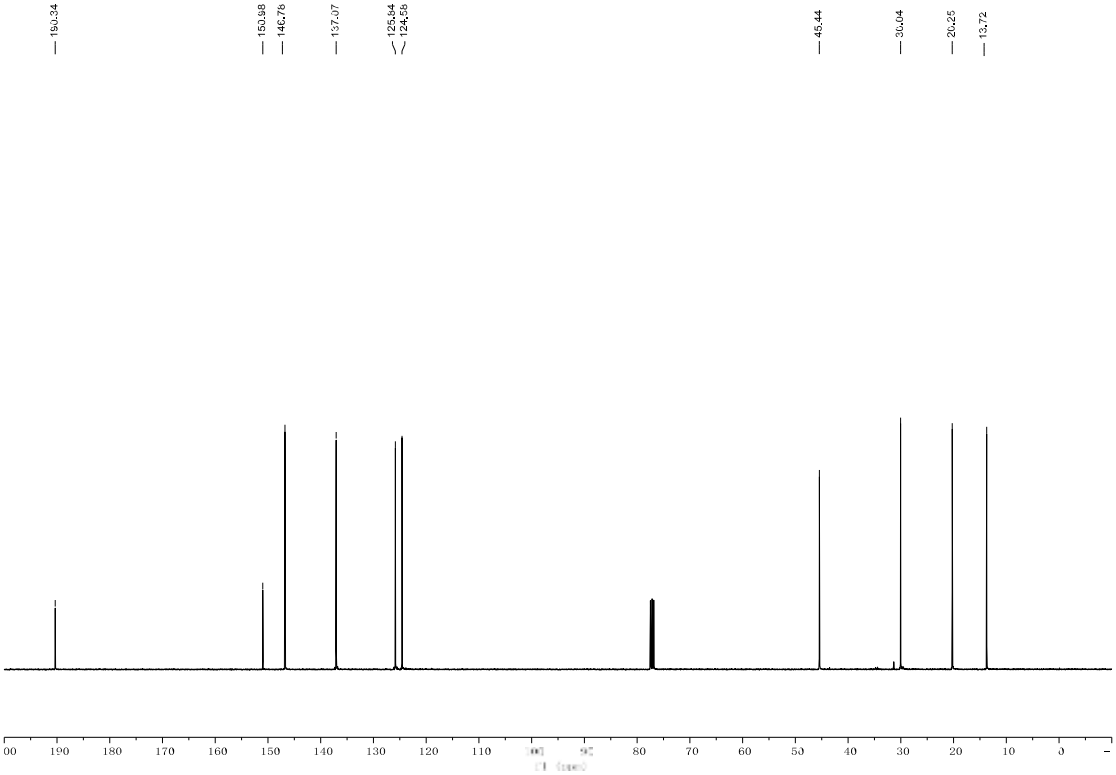
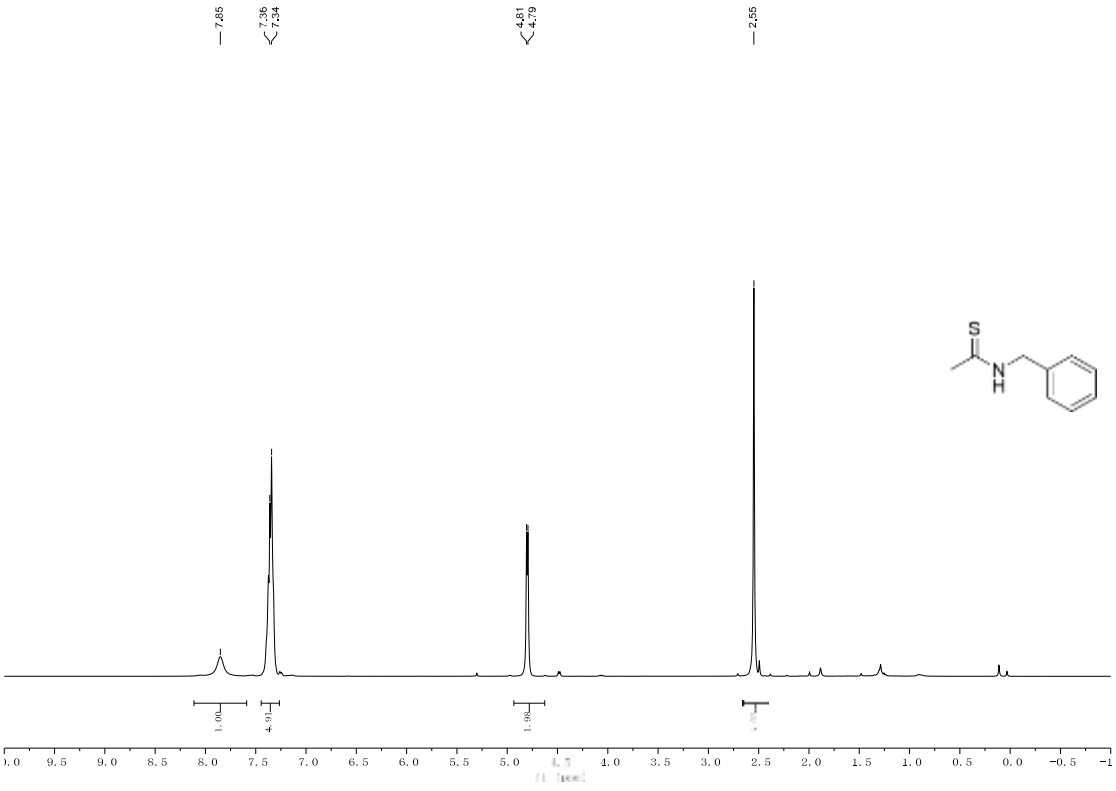
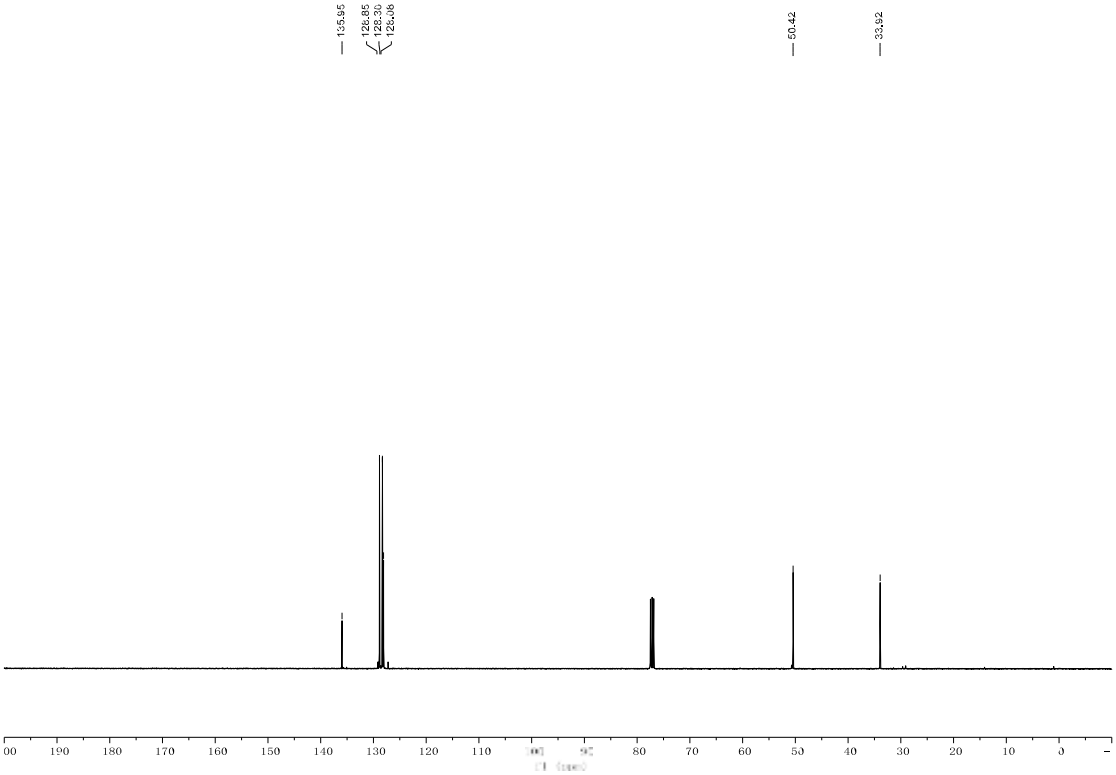


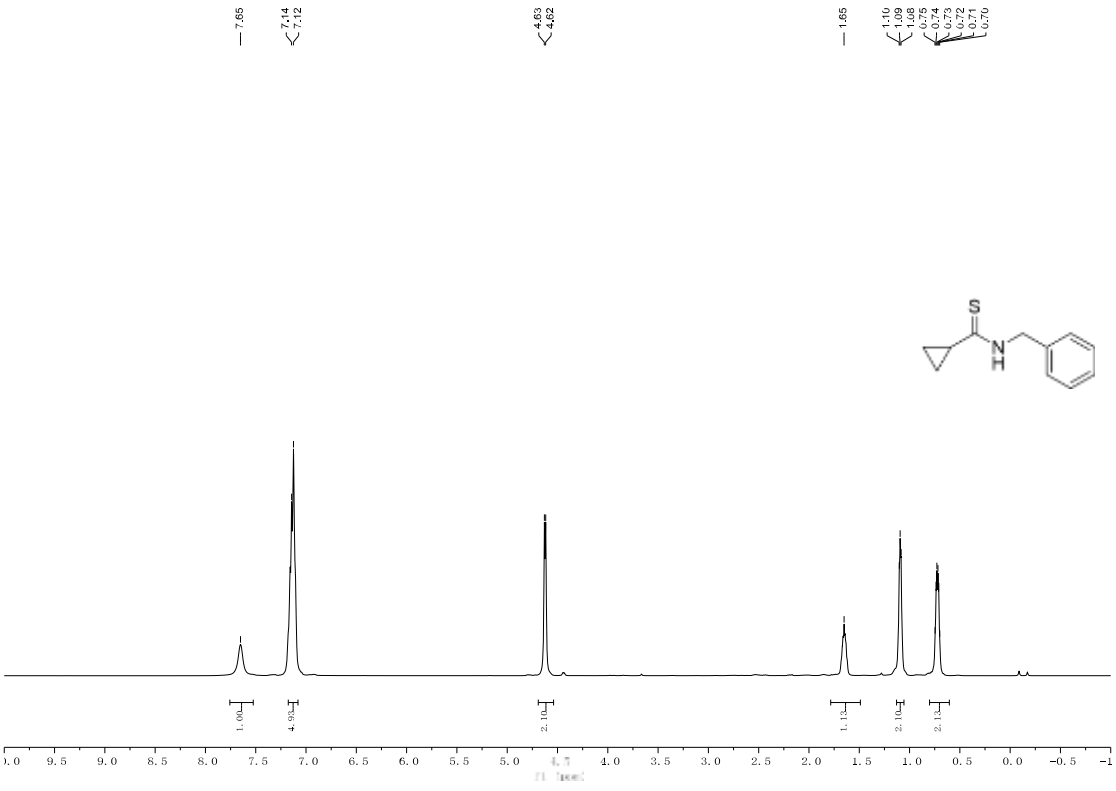
^{1}H NMR spectra for **5ab**



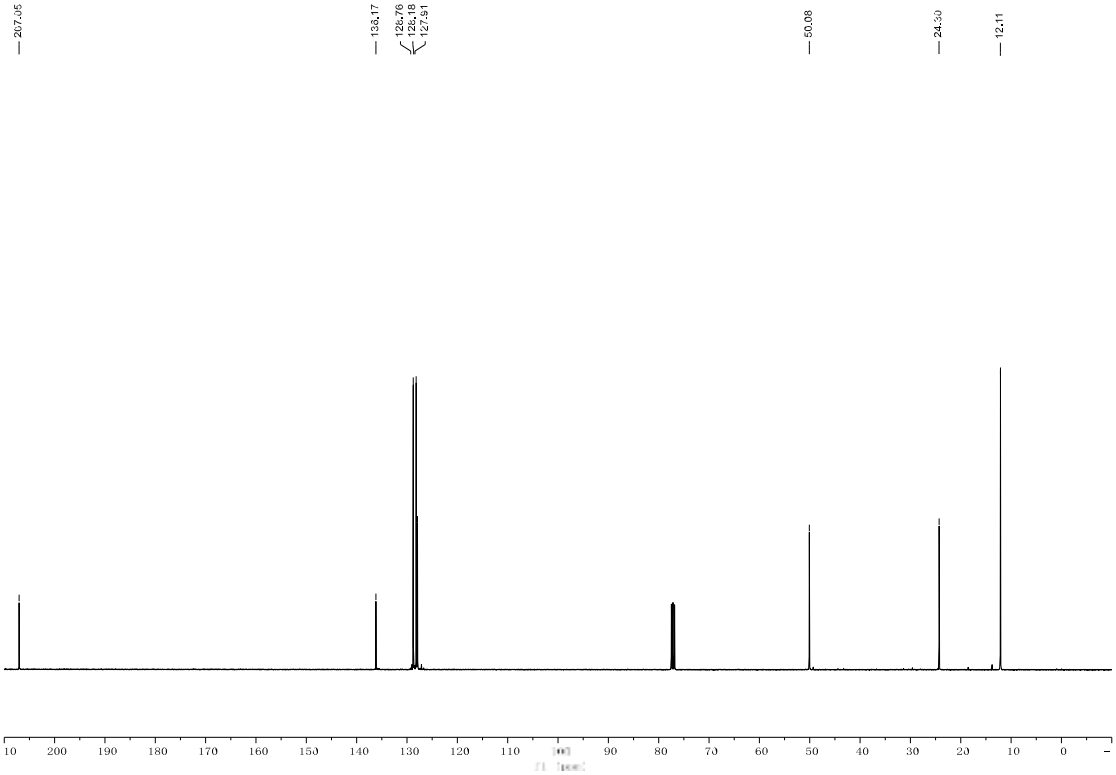
^{13}C NMR spectra for **5ab**



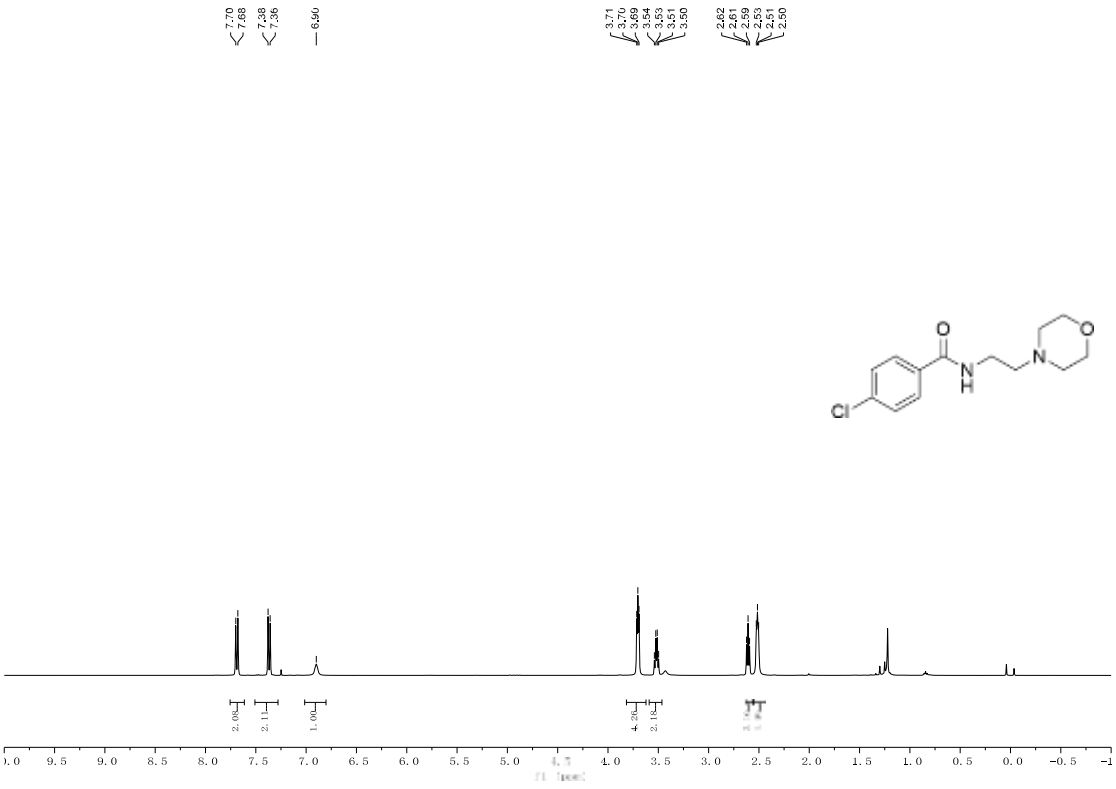
^{1}H NMR spectra for **5ac**



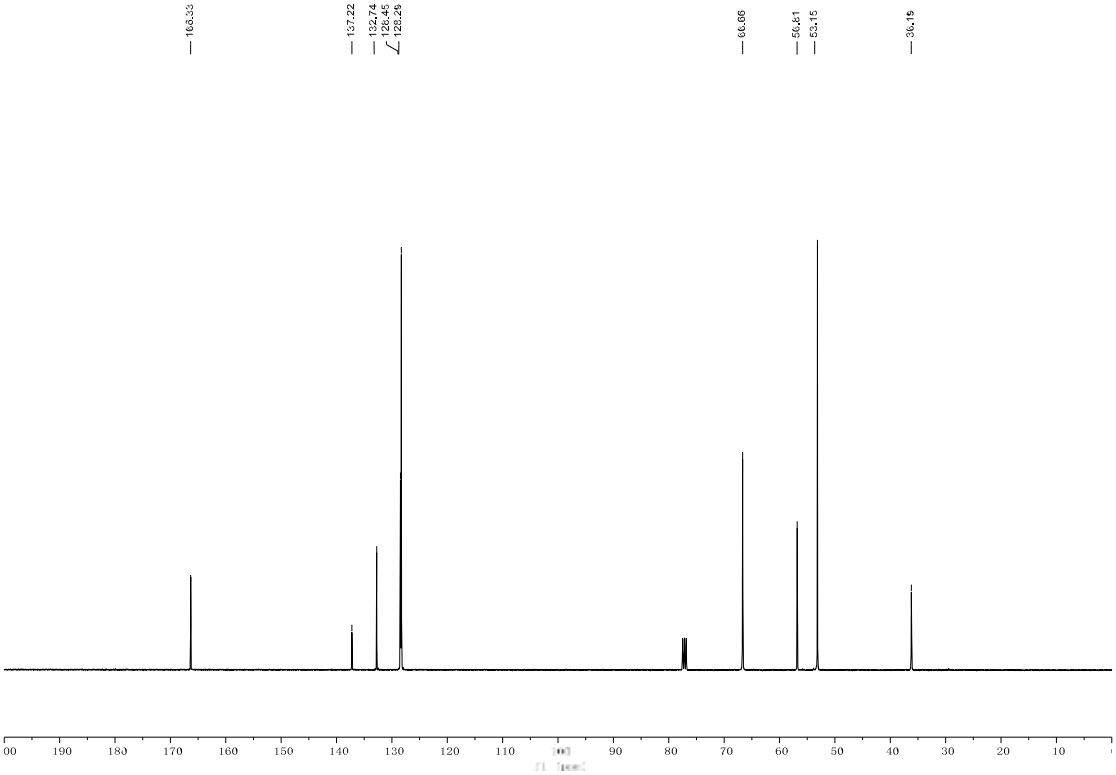
^{13}C NMR spectra for **5ac**



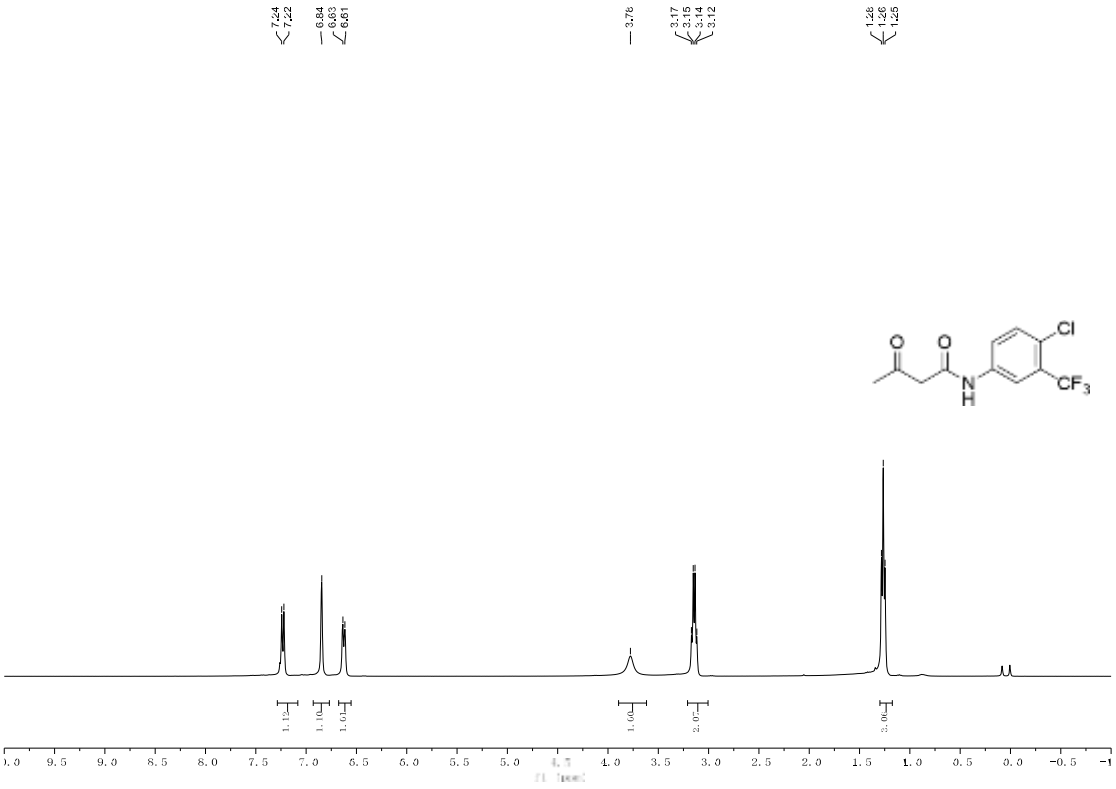
^{1}H NMR spectra for **Manefix**



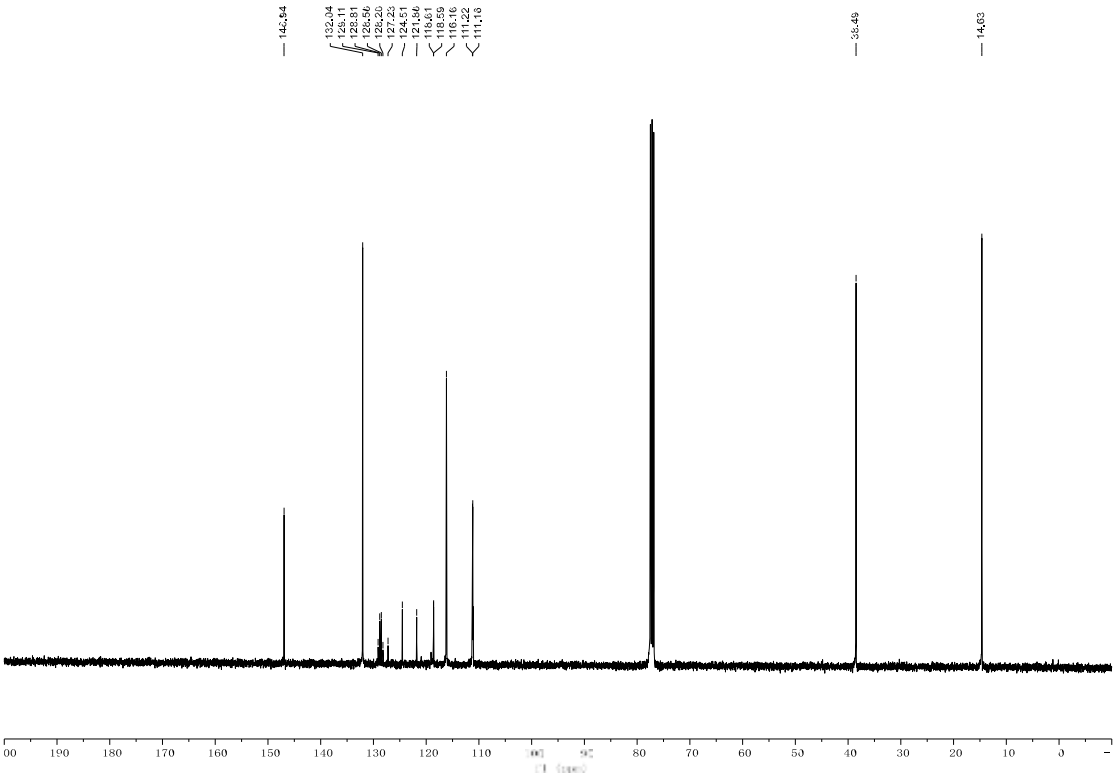
^{13}C NMR spectra for **Manefix**



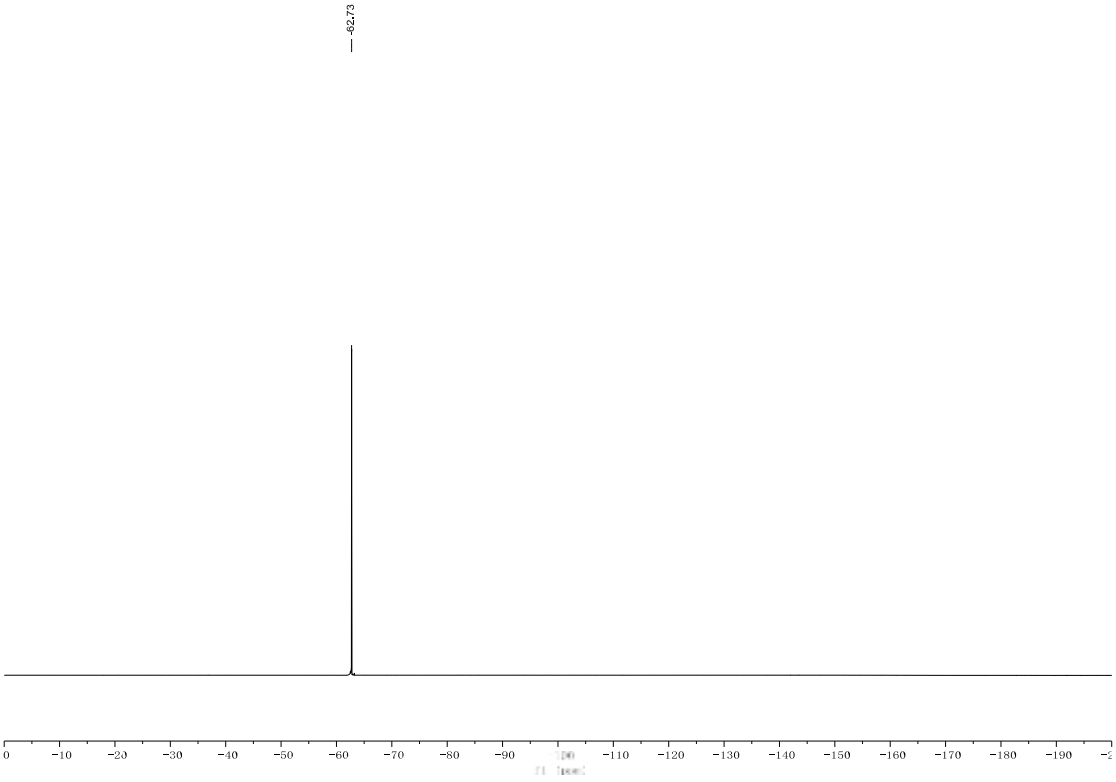
^{1}H NMR spectra for **Fasentin**



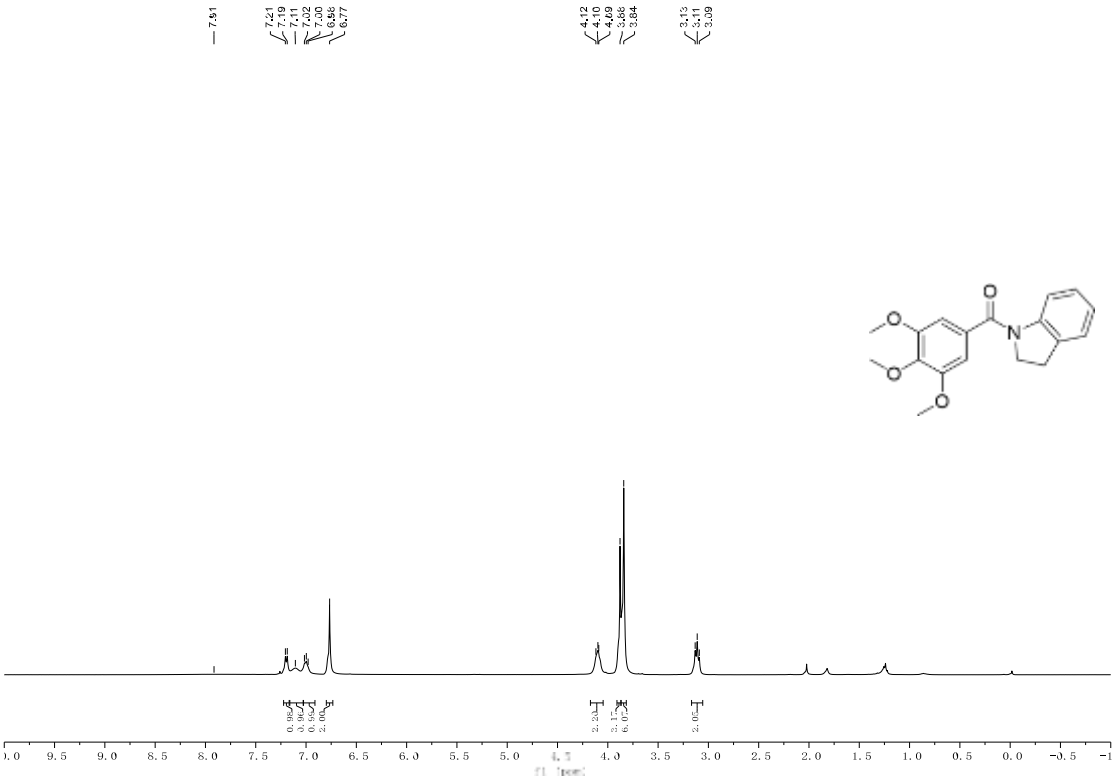
^{13}C NMR spectra for **Fasentin**



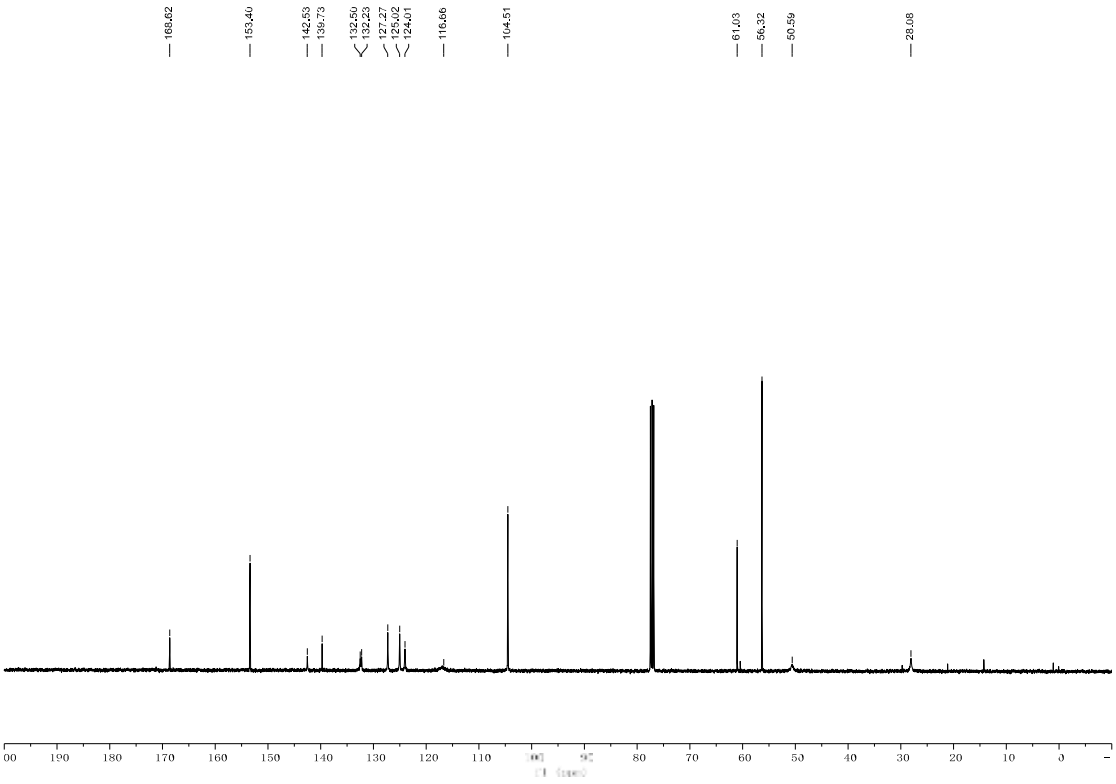
^{19}F NMR spectra for **Fasentin**



^{1}H NMR spectra for **Tubulin inhibitor**



^{13}C NMR spectra for **Tubulin inhibitor**



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