Supplementary Information

Directed nucleophilic aromatic substitution reaction

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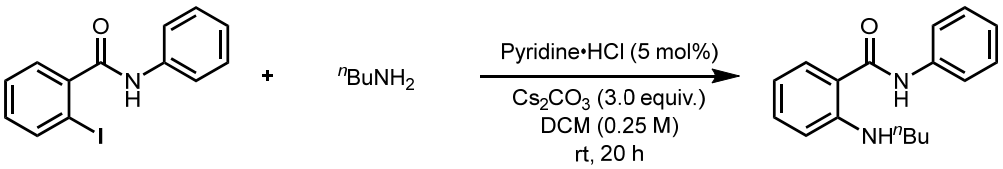
1. General Information

All reactions were carried out under nitrogen (99.95%) atmosphere. For TLC analyses precoated Kieselgel 60 F254 plates (Merck, 0.25 mm thick) were used; for column chromatography Silica Flash® P60 (SiliCycle, 40-63 μm) was used. Visualization was accomplished by UV light (254 nm), ^{1}H, ^{13}C, and ^{19}F NMR spectra were obtained using a JEOL 500 MHz NMR spectrometer. Chemical shifts for ^{1}H NMR were described in parts per million (chloroform as an internal standard $\delta = 7.26$) in $CDCl_3$, unless otherwise noted. Chemical shifts for ^{13}C NMR were expressed in parts per million in $CDCl_3$ as an internal standard ($\delta = 77.16$), unless otherwise noted. High resolution mass analyses (HRMS) were obtained using an ACQUITY UPLC/TOF-MS for ESI. Infrared spectra were recorded on Agilent Technologies Cary 630 FTIR. Anhydrous solvents were purchased from Kanto Chemical Co., Ltd. Other chemicals were purchased from TCI, Aldrich, and Wako and directly used without further purification. UV-visible absorption spectra were recorded on a JASCO V-750 spectrometer. Fluorescence spectra were recorded on a JASCO FP-8250 fluorescence spectrometer.

2. Optimization and Comparison of Reaction Conditions

Table S1. Optimization

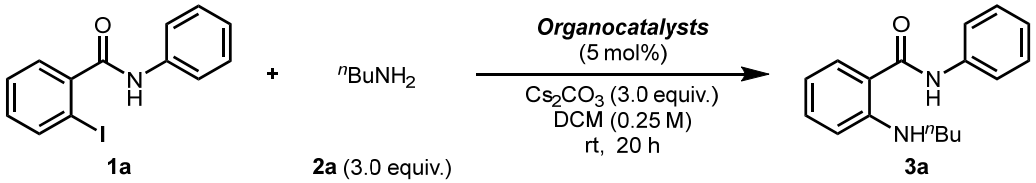


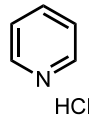
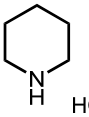
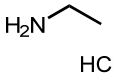
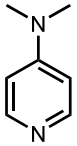
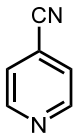
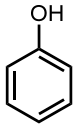
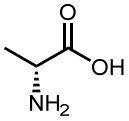
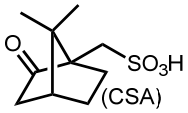
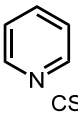
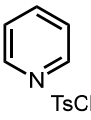
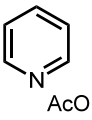
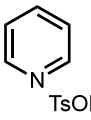
1a (1.0 equiv.) **2a** (3.0 equiv.) **3a**

entry	deviation from the standard conditions	NMR yield (%)
1	none	49
2	5.0 equiv. of amine	>99
3	Pyridine instead of Pyridine•HCl	14
4	Trimethylamine•HCl instead of Pyridine•HCl	18
5	Piperidine•HCl instead of Pyridine•HCl	19
6	DMAP instead of Pyridine•HCl	trace
7	AcOH instead of Pyridine•HCl	10
8	CSA instead of Pyridine•HCl	23
9	Pyridine + CSA instead of Pyridine•HCl	55[a]
10	CsF instead of Cs_2CO_3	trace
11	Et_3N instead of Cs_2CO_3	0
12	MeOH as solvent	31
13	MeCN as solvent	30
14	*conditions B*	>99

Reaction yields were determined by ^{1}H NMR using 1,1,2,2-Tetrachloroethane as an internal standard. DMAP: 4-Dimethylaminopyridine, CSA: (±)-10-Camphorsulfonic Acid
[a]Add Pyridine (5 mol%) and CSA (5 mol%). *conditions B*: 1a (1.0 equiv.), 2a (5.0 equiv.), K_3PO_4 (2.0 equiv.), CsOAc (1.0 equiv.), Pyridine (1.0 M), 80℃, 24 h

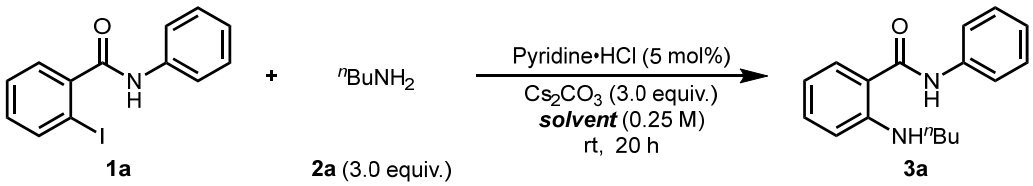
Table S2. Screening of catalysts (conditions A)



NMR yield							
							
49% >99%[b]	14% / 52%[a] 32%[b]	18% 70%[b]	19% 88%[b]	56% 86%[b]	18% 37%[b]	trace	trace
							
trace	10%	12%	23%	55%	24%	trace	trace

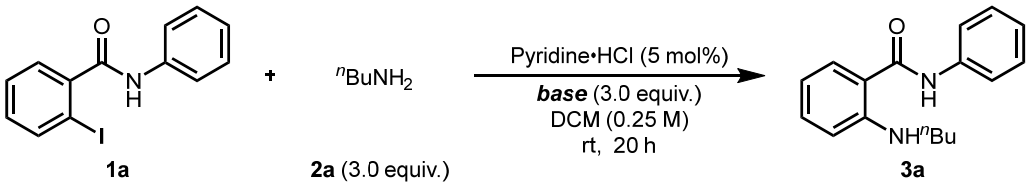
[a]Used as solvent (0.25 M) and w/o catalyst. [b]Used 5.0 equiv. of amine.

Table S3. Screening of solvents (anhydrous) (conditions A)



Entry	solvent	NMR yield
1	DCM	49%
2	MeOH	31%
3	MeCN	30%
4	Acetone	trace
5	THF	trace

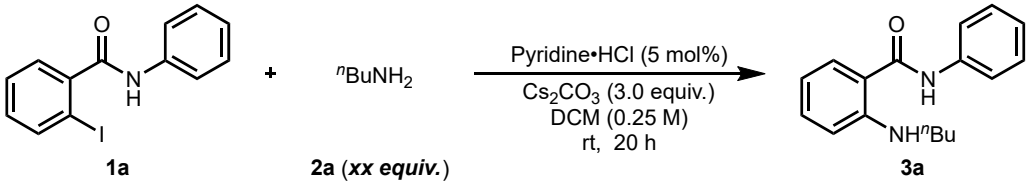
Table S4. Screening of bases (conditions A)



1a **2a** (3.0 equiv.) **3a**

Entry	base	NMR yield
1	Cs_2CO_3	49%
2	Na_2CO_3	0%
3	K_2CO_3	0%
4	Li_2CO_3	0%
5	CsF	trace
6	CsOAc	0%
7	Et_3N	0%
8	DBU	0%
9	DABCO	trace

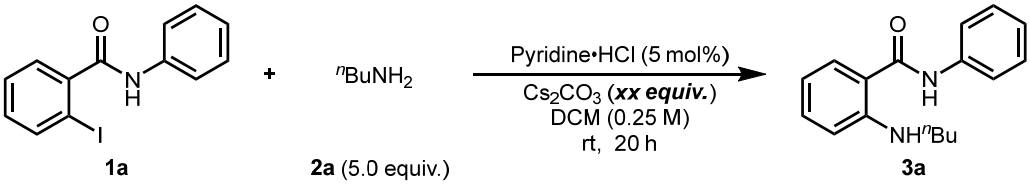
Table S5. Screening of equivalent of amine (conditions A)



1a **2a** (***xx equiv.***) **3a**

Entry	xx equiv.	NMR yield
1	5.0	>99%
2	3.0	49%
3	2.0	16%
4	1.0	trace

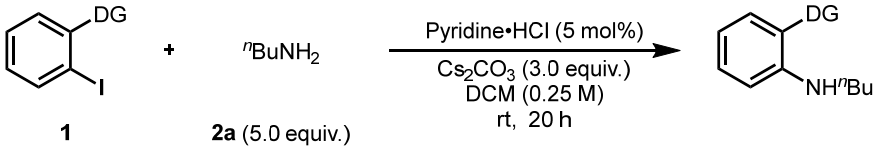
Table S6. Screening of equivalent of base (conditions A)



1a **2a** (5.0 equiv.) **3a**

Entry	xx equiv.	NMR yield
1	3.0	>99%
2	2.0	76%
3	1.0	62%

Table S7. Screening of directing groups (conditions A)



1 **2a** (5.0 equiv.)

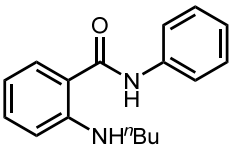
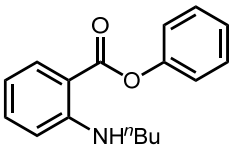
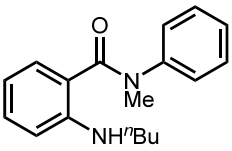
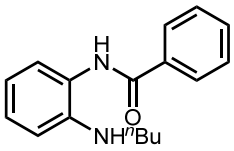
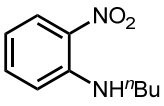
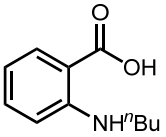
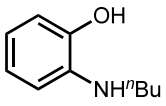
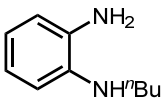
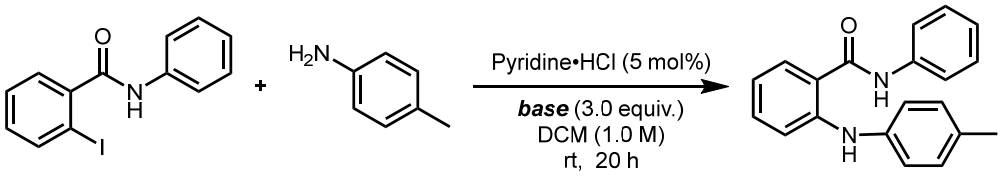
NMR yield			
			
>99%	0%	0%	0%
			
0%	0%	0%	0%

Table S8. Screening of bases (conditions B)

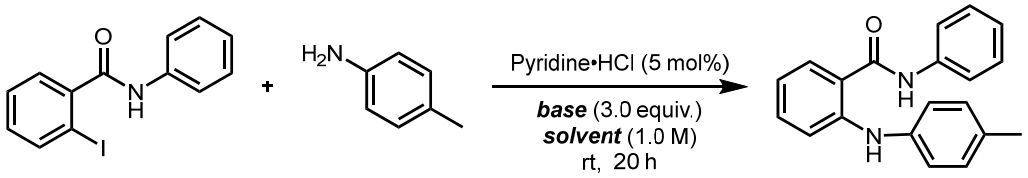


1a (1.0 equiv.) **2b** (5.0 equiv.) **3b**

Entry	base	NMR yield
1	CsF	9%(7%[a])
2	K_3PO_4	5%(5%[a])
3	DBU	15%
4	Cs_2CO_3	0%
5	Li_2CO_3	0%
6	Na_2CO_3	0%
7	K_2CO_3	0%
8	CsOAc	0%
9	NaOAc	0%
10	KOAc	0%
11	NaO^{t}Bu	0%
12	KO^{t}Bu	0%
13	DABCO	0%
14	Et_3N	0%
15	DIPA	0%
16	DIPEA	0%
17	w/o base	0%

[a]isolated yield

Table S9. Screening of solvent (conditions B)

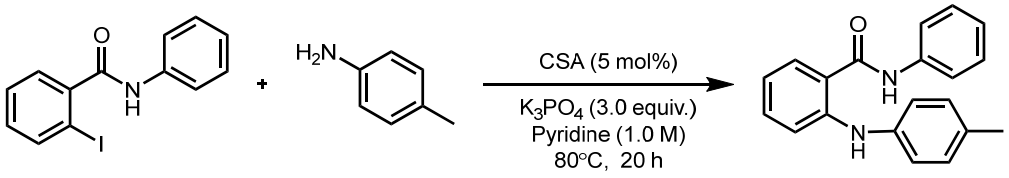


1a (1.0 equiv.) **2b** (5.0 equiv.) **3b**

	NMR yield		
Solvent	CsF	K_3PO_4	DBU
DCM	9%(7%[a])	5%(5%[a])	15%
DCE	0%	1%	4%
Chloroform	2%	2%	8%
Acetonitrile	1%	0%	3%
Diethyl ether	5%	2%	5%
AcOEt	0%	1%	5%
Pyridine[b]	2%	2%	2%
Pyridine[c]	18%	11%	16%
Pyridine[d]	1%	0%	9%
Pyridine[e]	2%	2%	6%
Pyridine[f]	17%	51%(40%[a])	18%

[a]isolated yield. [b]w/o Catalyst. [c]added CSA (5 mol%) instead of a catalyst. [d]added CSA (1.0 equiv.) instead of a catalyst. [e]added CSA (20 mol%) instead of a catalyst. [f]added CSA (5 mol%) instead of a catalyst and 80°C.

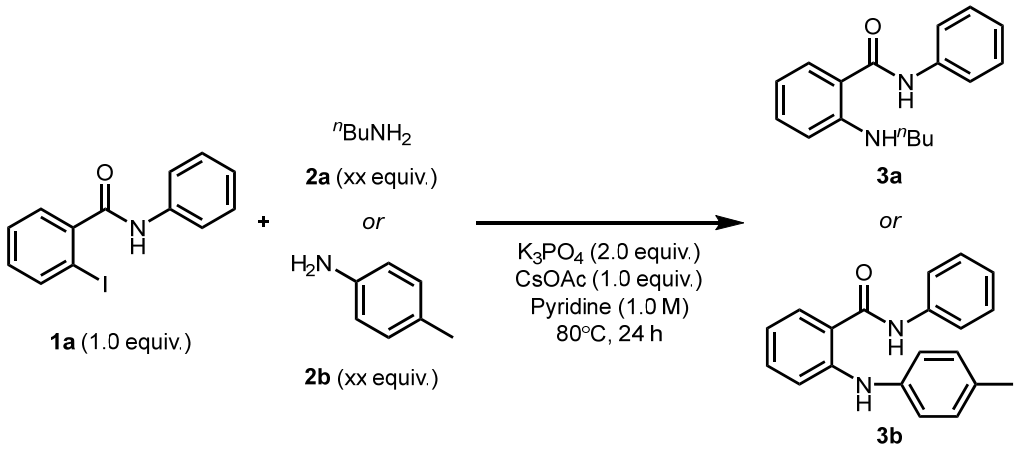
Table S10. Screening of various conditions (conditions B)



1a (1.0 equiv.) **2b** (5.0 equiv.) **3b**

Entry	deviation from the standard conditions	NMR yield
1	none	51%
2	50°C instead of 80°C	12%
3	Pyridine/H_2O = 95:5 (1.0 M)	62%
4	add CsOAc (1.0 equiv.) and Pyridine/H_2O = 95:5 (1.0 M)	74%
5	add Cs_2CO_3 (1.0 equiv.) and Pyridine/H_2O = 95:5 (1.0 M)	62%
6	add CsF (1.0 equiv.) and Pyridine/H_2O = 95:5 (1.0 M)	7%
7	K_3PO_4 (1.0 equiv.), CsOAc (1.0 equiv.) and Pyridine/H_2O = 95:5 (1.0 M)	60%
8	K_3PO_4 (2.0 equiv.), CsOAc (1.0 equiv.) and Pyridine/H_2O = 95:5 (1.0 M)	75%
9	K_3PO_4 (2.0 equiv.), CsOAc (0.5 equiv.), and Pyridine/H_2O = 95:5 (1.0 M)	63%
10	K_3PO_4 (2.0 equiv.), CsOAc (0.8 equiv.), and Pyridine/H_2O = 95:5 (1.0 M)	68%
11	K_3PO_4 (2.0 equiv.), CsOAc (1.0 equiv.), Pyridine/H_2O = 95:5 (1.0 M) and 24 h	81%
12	w/o CSA, K_3PO_4 (2.0 equiv.), CsOAc (1.0 equiv.), Pyridine/H_2O = 95:5 (1.0 M) and 24 h	79%
13	w/o CSA, K_3PO_4 (2.0 equiv.), CsOAc (1.0 equiv.), Pyridine (1.0 M) and 24 h	>99%

Table S11. Screening of equivalent of amine (conditions B)

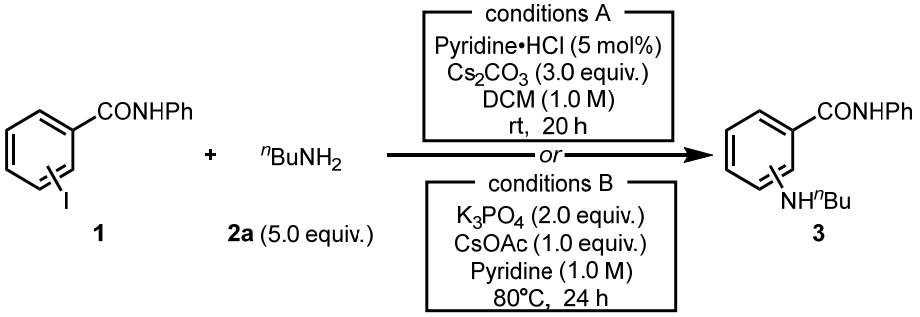


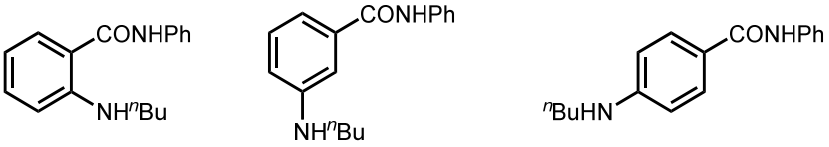
1a (1.0 equiv.)

2a (equiv.)	NMR yield of **3a**
2.0	>99%
5.0	>99%

2b (equiv.)	NMR yield of **3b**
2.0	38%
5.0	>99%

Table S11. Regio-isomers study under various conditions



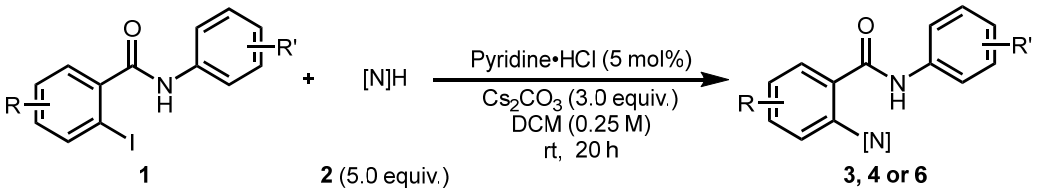


conditions A	99%	0%	0%
conditions B	97%	0%	0%

3. General procedures

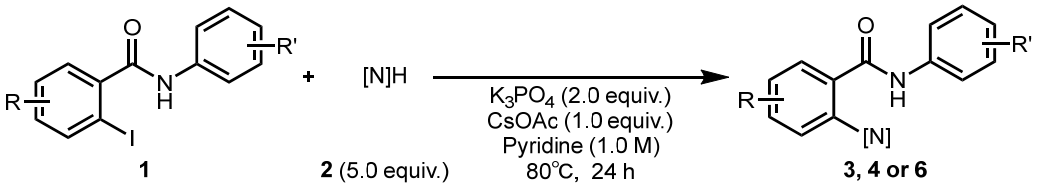
General procedure for the synthesis of 3, 4 and 6

Condition A;



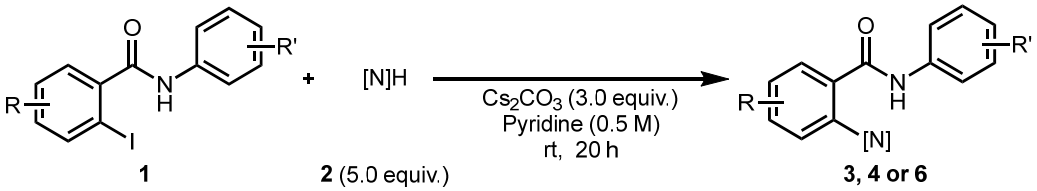
An oven-dried 5.0 mL screw-cap vial equipped with magnetic stir bar was charged with corresponding aromatic halides **1** (0.5 mmol, 1.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.), Amines **2** (2.5 mmol, 5.0 equiv.), and Dichloromethane (0.5~2.0 mL) were added in a nitrogen-filled glovebox. The reaction mixture was stirred at room temperature for 20 h. After this time, the reaction mixture was filtered through the plug of silica gel, and then concentrated by rotary evaporation. Yield was determined by ^{1}H NMR analysis using 1,1,2,2-tetrachloroethane as an internal standard. After the mixture was concentrated by evaporation, the residue was purified by flash chromatography, eluting hexane/EtOAc to afford the product.

Condition B;



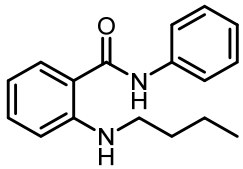
An oven-dried 5.0 mL screw-cap vial equipped with magnetic stir bar was charged with corresponding aromatic halides **1** (0.5 mmol, 1.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.), Amines **2** (2.5 mmol, 5.0 equiv.), and Pyridine (0.5 mL) were added in a nitrogen-filled glovebox. The reaction mixture was stirred at 80°C for 24 h. After this time, the reaction mixture was filtered through the plug of silica gel, and then concentrated by rotary evaporation. Yield was determined by ^{1}H NMR analysis using 1,1,2,2-tetrachloroethane as an internal standard. After the mixture was concentrated by evaporation, the residue was purified by flash chromatography, eluting hexane/EtOAc to afford the product.

Condition C;



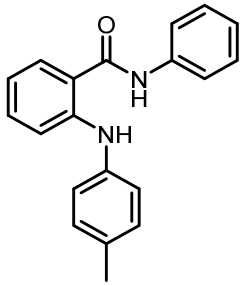
An oven-dried 5.0 mL screw-cap vial equipped with magnetic stir bar was charged with corresponding aromatic halides **1** (0.5 mmol, 1.0 equiv.), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.), Amines **2** (2.5 mmol, 5.0 equiv.), and Pyridine (1.0 mL) were added in a nitrogen-filled glovebox. The reaction mixture was stirred at room temperature for 20 h. After this time, the reaction mixture was filtered through the plug of silica gel, and then concentrated by rotary evaporation. Yield was determined by ^{1}H NMR analysis using 1,1,2,2-tetrachloroethane as an internal standard. After the mixture was concentrated by evaporation, the residue was purified by flash chromatography, eluting hexane/EtOAc to afford the product.

2-(butylamino)-N-phenylbenzamide (**3a**)



Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (2.0 mL) at room temperature for 20 h, yielded the product **3a** (133.5 mg, 99%), Viscous colorless oil.; IR (neat) ν 3391, 3286, 2958, 2931, 2868, 1633, 748, 691 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.4 Hz, 3H), 1.45 (sext, *J* = 7.4 Hz, 2H), 1.66 (quint, *J* = 7.3 Hz, 2H), 3.16 (t, *J* = 7.1 Hz, 2H), 6.62 (t, *J* = 7.5 Hz, 1H), 6.73 (d, *J* = 8.1 Hz, 1H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.33-7.39 (m, 3H), 7.41 (brs, 1H), 7.49 (dd, *J* = 1.5 Hz and 7.9 Hz, 1H), 7.54 (d, *J* = 8.3 Hz, 2H), 7.72 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 112.0, 114.6, 114.9, 120.8, 124.5, 127.5, 129.2, 133.4, 138.0, 150.3, 168.3; HRMS (TOF-MS) calcd. for $C_{17}H_{21}N_2O$ ($M+H^+$): 269.1654; found 269.1653

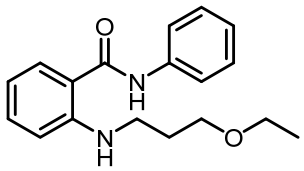
N-phenyl-2-(p-tolylamino)benzamide (**3b**)



Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2b** (267.9 mg, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **3b** (123.3 mg, 82%), Viscous colorless oil.; IR (neat) ν 3380, 3344, 2915, 1637, 1594, 1506, 1430, 1316, 805 cm^{-1}; ^{1}H NMR ($CDCl_3$)

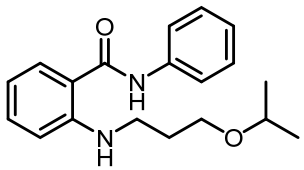
δ: 2.32 (s, 3H), 6.78-6.81 (m, 1H), 7.09-7.13 (m, 4H), 7.16 (t, *J* = 7.3 Hz, 1H), 7.27-7.31 (m, 2H), 7.38 (t, *J* = 7.8 Hz, 2H), 7.57 (d, *J* = 7.8 Hz, 3H), 7.87 (brs, 1H), 9.07 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 21.0, 115.5, 117.7, 118.0, 120.8, 122.0, 124.8, 127.6, 129.3, 130.0, 132.8, 132.9, 137.8, 138.6, 146.8, 168.0.; HRMS (TOF-MS) calcd. for $C_{20}H_{19}N_2O$ ($M+H^+$): 303.1497; found 303.1497

2-((3-ethoxypropyl)amino)-N-phenylbenzamide (**3c**)



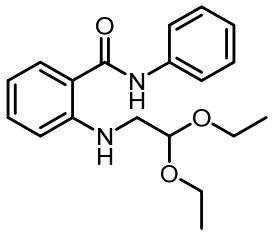
Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2c** (0.30 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **3c** (135.8 mg, 91%), Viscous colorless oil.; IR (neat) ν 2971, 1637, 1578, 1357, 1152, 1022 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 1.26 (t, *J* = 7.0 Hz, 3H), 1.93 (quint, *J* = 6.4 Hz, 2H), 3.28 (q, *J* = 4.3 Hz, 2H), 3.48 (q, *J* = 7.0 Hz, 2H), 3.54 (t, *J* = 6.1 Hz, 2H), 6.64 (t, *J* = 7.6 Hz, 1H), 6.77 (d, *J* = 8.2 Hz, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.32-7.38 (m, 3H), 7.43 (brs, 1H), 7.48 (d, *J* = 7.8 Hz, 1H), 7.54 (d, *J* = 8.1 Hz, 2H), 7.74 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 15.3, 29.6, 40.4, 66.4, 68.3, 112.1, 114.8, 115.2, 120.7, 124.5, 127.6, 129.2, 133.4, 138.0, 150.1, 168.3.; HRMS (TOF-MS) calcd. for $C_{18}H_{23}N_2O_2$ ($M+H^+$): 299.1760; found 299.1761

2-((3-isopropoxypropyl)amino)-N-phenylbenzamide (**3d**)



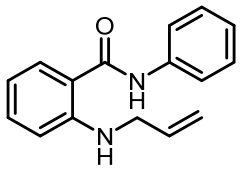
Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2d** (0.35 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **3d** (154.1 mg, 98%), Viscous colorless oil.; IR (neat) ν 3388, 3296, 2974, 2935, 2861, 1637, 1428, 1296, 1098, 746 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 1.15 (d, *J* = 6.2 Hz, 6H), 1.90 (quint, *J* = 6.3 Hz, 2H), 3.28 (t, *J* = 6.6 Hz, 2H), 3.52-3.59 (m, 3H), 6.64 (t, *J* = 7.3 Hz, 1H), 6.78 (d, *J* = 8.5 Hz, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.32-7.38 (m, 3H), 7.42 (brs, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.54 (d, *J* = 8.3 Hz, 2H), 7.76 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 22.2, 29.9, 40.4, 65.8, 71.7, 112.1, 114.8, 115.2, 120.7, 124.5, 127.6, 129.2, 133.4, 138.0, 150.2, 168.2.; HRMS (TOF-MS) calcd. for $C_{19}H_{25}N_2O_2$ ($M+H^+$): 313.1916; found 313.1916

2-((2,2-diethoxyethyl)amino)-N-phenylbenzamide (**3e**)



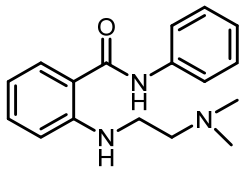
Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2e** (0.36 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, ^{1}H NMR yielded the product **3e** (97%), Viscous colorless oil.; IR (neat) ν 3373, 3311, 2975, 2926, 2893, 1636, 1541, 1063, 750 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 1.24 (t, *J* = 7.1 Hz, 6H), 3.34 (t, *J* = 5.6 Hz, 2H), 3.56-3.62 (m, 2H), 3.71-3.77 (m, 2H), 4.71 (t, *J* = 5.6 Hz, 1H), 6.67 (t, *J* = 7.8 Hz, 1H), 6.78 (d, *J* = 8.4 Hz, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.32-7.38 (m, 3H), 7.46 (brs, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.58 (d, *J* = 7.6 Hz, 2H), 7.78 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 15.5, 46.2, 62.6, 101.2, 112.4, 115.4, 116.2, 120.5, 124.5, 127.6, 129.2, 133.3, 138.1, 149.7, 167.9.; HRMS (TOF-MS) calcd. for $C_{19}H_{25}N_2O_3$ ($M+H^+$): 329.1865; found 329.1864

2-(allylamino)-*N*-phenylbenzamide (**3f**)



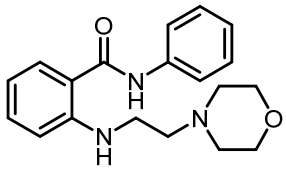
Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2f** (0.19 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **3f** (121.9 mg, 97%), Viscous colorless oil.; IR (neat) ν 3395, 3264, 2832, 1738, 1633, 1578, 1514, 1231, 749 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 3.84 (d, *J* = 4.4 Hz, 2H), 5.17 (d, *J* = 10.2 Hz, 1H), 5.30 (d, *J* = 17.5 Hz, 1H), 5.90-5.80 (m, 1H), 6.65 (t, *J* = 8.0 Hz, 1H), 6.71 (d, *J* = 8.0 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.32-7.39 (m, 3H), 7.50 (d, *J* = 7.7 Hz, 1H), 7.56 (d, *J* = 8.2 Hz, 2H), 7.61 (brs, 1H), 7.78 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 45.6, 112.4, 115.1, 115.4, 116.3, 120.7, 124.6, 127.5, 129.2, 133.3, 134.8, 138.0, 149.9, 168.3.; HRMS (TOF-MS) calcd. for $C_{16}H_{17}N_2O$ ($M+H^+$): 253.1341; found 253.1341

2-((2-(dimethylamino)ethyl)amino)-N-phenylbenzamide (**3g**)



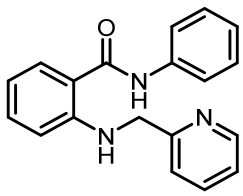
Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2g** (0.27 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (2.0 mL) at room temperature for 20 h, yielded the product **3g** (136.3 mg, 96%), Viscous colorless oil.; IR (neat) ν 3313, 2944, 2818, 2772, 1630, 1595, 1515, 1219, 742 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 2.28 (s, 6H), 2.58 (t, *J* = 6.7 Hz, 2H), 3.27 (q, *J* = 5.4 Hz, 2H), 6.65 (t, *J* = 7.3 Hz, 1H), 6.73 (d, *J* = 8.4 Hz, 1H), 7.13 (t, *J* = 7.4 Hz, 1H), 7.33-7.37 (m, 4H), 7.50 (d, *J* = 7.9 Hz, 1H), 7.55 (d, *J* = 7.9 Hz, 2H), 7.87 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 41.1, 45.5, 58.1, 112.2, 115.3, 116.0, 120.7, 124.5, 127.8, 129.2, 133.4, 138.1, 149.7, 168.1.; HRMS (TOF-MS) calcd. for $C_{17}H_{22}N_3O$ ($M+H^+$): 284.1763; found 284.1764

2-((2-morpholinoethyl)amino)-N-phenylbenzamide (**3h**)



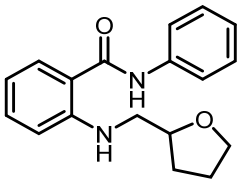
Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2h** (0.33 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **3h** (147.2 mg, 90%), Viscous colorless oil.; IR (neat) ν 3301, 3240, 2918, 2805, 1656, 1592, 1482, 1260, 1105, 746 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 2.48 (s, 4H), 2.64 (t, *J* = 6.2 Hz, 2H), 3.26 (q, *J* = 6.2 Hz, 2H), 3.71 (t, *J* = 4.5 Hz, 4H), 6.71 (t, *J* = 7.3 Hz, 1H), 6.64 (d, *J* = 8.4 Hz, 1H), 7.12 (t, *J* = 7.3 Hz, 1H), 7.32-7.36 (m, 3H), 7.46-7.49 (m, 2H), 7.55 (d, *J* = 7.9 Hz, 2H), 7.84 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 40.2, 53.7, 57.3, 67.1, 112.1, 115.2, 116.1, 120.6, 124.5, 127.7, 129.2, 133.3, 138.1, 149.7, 168.0.; HRMS (TOF-MS) calcd. for $C_{19}H_{24}N_3O_2$ ($M+H^+$): 326.1869; found 326.1870

N-phenyl-2-((pyridin-2-ylmethyl)amino)benzamide (**3i**)



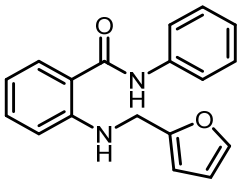
Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2i** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **3i** (153.0 mg, 99%), Viscous colorless oil.; IR (neat) ν 3337, 3258, 3052, 1633, 1514, 1438, 1228, 747 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 4.58 (d, *J* = 5.9 Hz, 2H), 6.65 (d, *J* = 8.5 Hz, 1H), 6.68 (t, *J* = 7.8 Hz, 1H), 7.14-7.18 (m, 2H), 7.26-7.29 (m, 1H), 7.36-7.40 (m, 3H), 7.53 (d, *J* = 7.8 Hz, 1H), 7.58-7.64 (m, 3H), 7.90 (brs, 1H), 8.12 (brs, 1H), 8.59 (d, *J* = 4.9 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 49.1, 112.8, 115.7, 116.0, 120.7, 121.2, 122.0, 124.7, 127.6, 129.2, 133.4, 137.0, 138.0, 149.5, 149.6, 159.1, 168.2.; HRMS (TOF-MS) calcd. for $C_{19}H_{18}N_3O$ ($M+H^+$): 304.1450; found 304.1451

N-phenyl-2-(((tetrahydrofuran-2-yl)methyl)amino)benzamide (**3j**)



Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2j** (0.26 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **3j** (147.7 mg, 99%), Viscous colorless oil.; IR (neat) ν 3383, 3290, 2862, 1739, 1634, 1515, 1425, 1235, 1072, 744 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 1.65-1.72 (m, 1H), 1.85-1.99 (m, 2H), 2.02-2.09 (m, 1H), 3.26 (d, *J* = 5.8 Hz, 2H), 3.78 (q, *J* = 7.0 Hz, 1H), 3.92 (q, *J* = 6.6 Hz, 1H), 4.15 (quint, *J* = 6.1 Hz, 1H), 6.65 (t, *J* = 7.6 Hz, 1H), 6.77 (d, *J* = 8.3 Hz, 1H), 7.14 (t, *J* = 7.3 Hz, 1H), 7.32-7.37 (m, 3H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.53 (brs, 1H), 7.56 (d, *J* = 7.7 Hz, 2H), 7.81 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 25.9, 29.6, 47.7, 68.4, 77.5, 112.3, 115.2, 115.8, 120.7, 124.5, 127.6, 129.2, 133.3, 138.1, 150.1, 168.1.; HRMS (TOF-MS) calcd. for $C_{18}H_{21}N_2O_2$ ($M+H^+$): 297.1603; found 297.1605

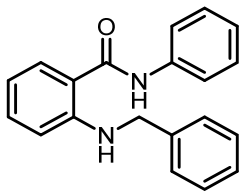
2-((furan-2-ylmethyl)amino)-N-phenylbenzamide (**3k**)



Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2k** (0.23 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80℃ for 24 h, yielded the product **3k** (137.9 mg, 94%), Viscous colorless oil.; IR (neat) ν 3377, 3331, 3053, 2924, 2850, 1636, 1576, 1503, 1441, 1144 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 4.38 (s, 2H), 6.25 (d, *J* = 3.2 Hz, 1H), 6.30-6.31 (m, 1H), 6.69 (t, *J* = 7.5 Hz, 1H), 6.80 (d,

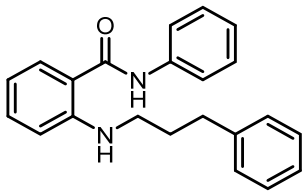
J = 8.3 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.33-7.38 (m, 4H), 7.50 (d, *J* = 8.1 Hz, 1H), 7.55 (d, *J* = 8.3 Hz, 2H), 7.79 (brs, 2H). ^{13}C NMR ($CDCl_3$) δ: 40.6, 107.1, 110.4, 112.3, 115.7, 116.0, 120.8, 124.7, 127.5, 129.2, 133.4, 137.9, 142.1, 149.5, 152.4, 168.1.; HRMS (TOF-MS) calcd. for $C_{18}H_{17}N_2O_2$ ($M+H^+$): 293.1290; found 293.1292

2-(benzylamino)-N-phenylbenzamide (**3l**)



Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2l** (0.27 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **3l** (79.2 mg, 52%), Viscous colorless oil.; IR (neat) ν 3421, 3232, 3065, 3026, 1592, 1494, 1426, 1231, 746 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 4.42 (d, *J* = 5.6 Hz, 2H), 6.64-6.68 (m, 2H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.23-7.39 (m, 8H), 7.50 (d, *J* = 7.7 Hz, 1H), 7.55 (d, *J* = 8.5 Hz, 2H), 7.76 (brs, 1H), 7.94 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 47.3, 112.6, 115.3, 115.5, 120.8, 124.7, 127.2, 127.3, 127.4, 128.8, 129.2, 133.4, 137.9, 139.0, 149.9, 168.3.; HRMS (TOF-MS) calcd. for $C_{20}H_{19}N_2O$ ($M+H^+$): 303.1497; found 303.1499

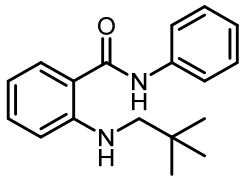
N-phenyl-2-((3-phenylpropyl)amino)benzamide (**3m**)



Following the general procedure above (Condition A), using **1a** (161.6 mg, 0.50 mmol), **2m** (0.36 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **3m** (125.5 mg, 76%), Viscous colorless oil.; IR (neat) ν 3389, 3344, 3023, 2926, 2852, 1637, 1577, 1509, 742 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 2.01 (quint, *J* = 7.6 Hz, 2H), 2.76 (t, *J* = 7.6 Hz, 2H), 3.18 (t, *J* = 5.5 Hz, 2H), 6.64 (t, *J* = 7.3 Hz, 1H), 6.68 (d, *J* = 8.5 Hz, 1H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.19-7.21 (m, 3H), 7.28 (t, *J* = 7.7 Hz, 2H), 7.33 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.8 Hz, 2H), 7.49 (d, *J* = 8.1 Hz, 1H), 7.52 (brs, 1H), 7.55 (d, *J* = 8.5 Hz, 2H), 7.72 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 30.7, 33.4, 42.4, 112.0, 114.8, 115.0, 120.8, 124.6, 126.0, 127.5, 128.5, 128.6, 129.2, 133.4, 138.0, 141.7, 150.2,

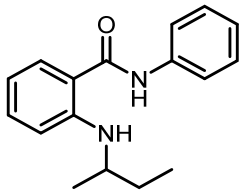
168.3.; HRMS (TOF-MS) calcd. for $C_{22}H_{23}N_2O$ ($M+H^+$): 331.1810; found 331.1813

2-(neopentylamino)-N-phenylbenzamide (**3n**)



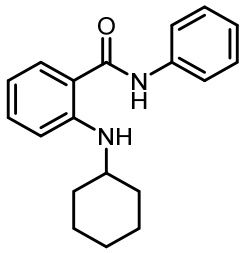
Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2n** (0.29 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **3n** (124.5 mg, 88%), Viscous colorless oil.; IR (neat) ν 3383, 3301, 2952, 1736, 1631, 1517, 1228, 752 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 1.04 (s, 9H), 2.95 (d, *J* = 5.0 Hz, 2H), 6.60 (t, *J* = 7.6 Hz, 1H), 6.75 (d, *J* = 8.1 Hz, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.33 (t, *J* = 8.1 Hz, 1H), 7.37 (t, *J* = 7.7 Hz, 2H), 7.48 (d, *J* = 7.7 Hz, 1H), 7.55 (d, *J* = 8.5 Hz, 2H), 7.69 (brs, 1H), 7.73(brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 27.9, 32.0, 55.2, 112.0, 114.4, 114.7, 120.8, 124.5, 127.6, 129.2, 133.4, 138.1, 151.0, 168.4.; HRMS (TOF-MS) calcd. for $C_{18}H_{23}N_2O$ ($M+H^+$): 283.1810; found 283.1811

2-(sec-butylamino)-N-phenylbenzamide (**3o**)



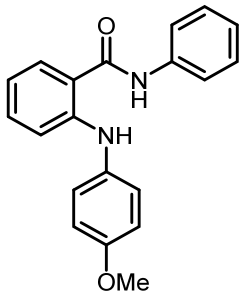
Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2o** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **3o** (91.2 mg, 68%), Viscous colorless oil.; IR (neat) ν 3365, 3272, 3068, 2960, 2927, 2873, 1632, 1578, 1513, 1443, 1245, 1164, 741 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.97 (t, *J* = 7.3 Hz, 3H), 1.21 (d, *J* = 6.2 Hz, 3H), 1.49-1.56 (m, 1H), 1.60-1.69 (m, 1H), 3.45-3.50 (m, 1H), 6.59 (t, *J* = 7.5 Hz, 1H), 6.73 (d, *J* = 8.5 Hz, 1H), 7.14 (t, *J* = 7.2 Hz, 1H), 7.32 (t, *J* = 7.5 Hz, 1H), 7.37 (t, *J* = 7.7 Hz, 2H), 7.40 (brs, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.53 (d, *J* = 8.5 Hz, 2H), 7.75 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 10.6, 20.2, 29.6, 49.3, 112.5, 114.3, 114.8, 120.8, 124.5, 127.8, 129.2, 133.4, 138.0, 149.7, 168.4.; HRMS (TOF-MS) calcd. for $C_{17}H_{21}N_2O$ ($M+H^+$): 269.1654; found 269.1656

2-(cyclohexylamino)-N-phenylbenzamide (**3p**)



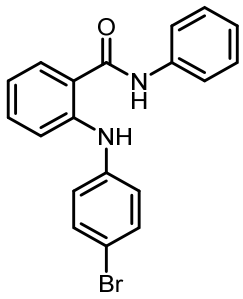
Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2p** (0.29 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **3p** (124.8 mg, 85%), Viscous colorless oil.; IR (neat) ν 3339, 3307, 2922, 2849, 1641, 1499, 1422, 1250, 744 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 1.24-1.41 (m, 5H), 1.61-1.65 (m, 1H), 1.76-1.78 (m, 2H), 2.02-2.05 (m, 2H), 3.35 (brs, 1H), 6.59 (t, *J* = 7.4 Hz, 1H), 6.75 (d, *J* = 8.4 Hz, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.31 (t, *J* = 7.9 Hz, 1H), 7.37 (t, *J* = 8.2 Hz, 2H), 7.43 (brs, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.53 (d, *J* = 7.9 Hz, 2H), 7.76 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 25.0, 26.1, 33.0, 51.0, 112.6, 114.4, 114.8, 120.8, 124.5, 127.8, 129.2, 133.3, 138.0, 149.3, 168.3.; HRMS (TOF-MS) calcd. for $C_{19}H_{23}N_2O$ ($M+H^+$): 295.1810; found 295.1811

2-((4-methoxyphenyl)amino)-N-phenylbenzamide (**3q**)



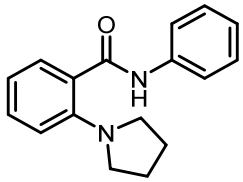
Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2q** (307.9 mg, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **3q** (74.5 mg, 47%), Viscous colorless oil.; IR (neat) ν 3249, 3126, 2952, 2833, 1626, 1586, 1507, 1446, 1239, 1031, 743 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 3.81 (s, 3H), 6.75 (t, *J* = 7.5 Hz, 1H), 6.89 (d, *J* = 9.0 Hz, 2H), 7.10 (d, *J* = 8.3 Hz, 1H), 7.13-7.18 (m, 3H), 7.27 (t, *J* = 9.0 Hz, 1H), 7.38 (t, *J* = 8.0 Hz, 2H), 7.55 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 8.5 Hz, 2H), 7.85 (brs, 1H), 9.04 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 55.6, 114.8, 116.9, 117.1, 120.8, 124.80, 124.84, 127.5, 129.3, 133.0, 134.1, 137.9, 148.0, 156.3, 168.1.; HRMS (TOF-MS) calcd. for $C_{20}H_{18}N_2NaO_2$ ($M+H^+$): 341.1266; found 341.1266

2-((4-bromophenyl)amino)-N-phenylbenzamide (**3r**)



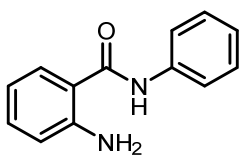
Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2r** (430.1 mg, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **3r** (123.9 mg, 68%), Viscous colorless oil.; IR (neat) ν 3348, 1739, 1637, 1583, 1509, 1433, 1317, 1254, 808 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 6.84-6.89 (m, 1H), 7.06 (d, *J* = 8.7 Hz, 2H), 7.17 (t, *J* = 7.3 Hz, 1H), 7.33 (d, *J* = 3.8 Hz, 2H), 7.37-7.40 (m, 4H), 7.55-7.59 (m, 3H), 7.88 (brs, 1H), 9.17 (brs, 1H).^{13}C NMR ($CDCl_3$) δ: 114.8, 116.0, 118.8, 119.1, 120.9, 122.3, 125.0, 127.7, 129.3, 132.4, 132.9, 137.6, 140.6, 145.3, 167.8.; HRMS (TOF-MS) calcd. for $C_{19}H_{16}BrN_2O$ ($M+H^+$): 367.0446; found 367.0448

N-phenyl-2-(pyrrolidin-1-yl)benzamide (**3s**)



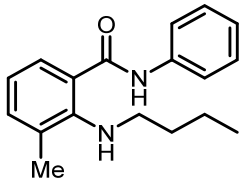
Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2s** (0.21 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, ^1H NMR yielded the product **3s** (75%), Viscous colorless oil.; IR (neat) ν 3307, 2958, 2848, 1737, 1645, 1597, 1523, 1490, 1438, 1321, 1237, 752 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 1.99-2.04 (m, 4H), 3.21-3.24 (m, 4H), 7.09-7.15 (m, 3H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.41 (t, *J* = 7.8 Hz, 1H), 7.66 (d, *J* = 7.8 Hz, 2H), 8.01 (d, *J* = 7.8 Hz, 1H), 10.8 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 24.9, 52.7, 118.5, 119.7, 122.4, 123.9, 126.9, 129.2, 131.1, 132.0, 138.9, 148.1, 165.9.; HRMS (TOF-MS) calcd. for $C_{17}H_{19}N_2O$ ($M+H^+$): 267.1497; found 267.1498

2-amino-N-phenylbenzamide (**3t**)



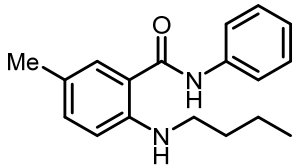
Following the general procedure above (Condition B), using **1a** (161.6 mg, 0.50 mmol), **2t** (3.0 mL), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **3t** (74.5 mg, 70%), Viscous colorless oil.; IR (neat) ν 3466, 3359, 3273, 3035, 1597, 1534, 1438, 1249, 1151, 746 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 5.47 (brs, 2H), 6.67-6.70 (m, 2H), 7.13 (t, *J* = 7.4 Hz, 1H), 7.22-7.25 (m, 1H), 7.35 (t, *J* = 7.7 Hz, 2H), 7.45 (d, *J* = 8.3 Hz, 1H), 7.54 (d, *J* = 8.5 Hz, 2H), 7.82 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 116.3, 116.9, 117.6, 120.7, 124.6, 127.3, 129.1, 132.8, 137.9, 149.0, 167.7.; HRMS (TOF-MS) calcd. for $C_{13}H_{13}N_2O$ ($M+H^+$): 213.1028; found 213.1029

2-(butylamino)-3-methyl-N-phenylbenzamide (**4b**)



Following the general procedure above (Condition B), using **1b** (168.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **4b** (139.6 mg, 99%), Viscous colorless oil.; IR (neat) ν 3308, 2956, 2927, 2860, 1648, 1594, 1540, 1438, 1315, 1250, 750 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.88 (t, *J* = 7.3 Hz, 3H), 1.36 (sext, *J* = 7.3 Hz, 2H), 1.60 (quint, *J* = 7.7 Hz, 2H), 2.35 (s, 3H), 2.99 (t, *J* = 7.3 Hz, 2H), 3.67 (brs, 1H), 7.07 (t, *J* = 7.6 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.30 (d, *J* = 7.4 Hz, 1H), 7.36 (t, *J* = 7.5 Hz, 2H), 7.70 (d, *J* = 7.6 Hz, 2H), 7.94 (d, *J* = 7.9 Hz, 1H), 11.02 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 18.0, 20.4, 32.9, 51.1, 120.0, 123.3, 123.9, 127.2, 129.1, 129.5, 130.6, 133.9, 138.9, 145.8, 165.6; HRMS (TOF-MS) calcd. for $C_{18}H_{23}N_2O$ ($M+H^+$): 283.1810; found 283.1811

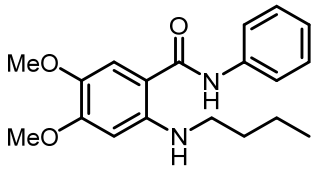
2-(butylamino)-5-methyl-N-phenylbenzamide (**4c**)



Following the general procedure above (Condition A), using **1c** (168.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4c** (100.1 mg, 71%), Viscous colorless oil.; IR (neat) ν 3391, 3274, 2929, 2866, 1638, 1519, 1426, 748 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.94 (t, *J* = 7.4 Hz, 3H), 1.45 (sext, *J* = 7.4 Hz, 2H), 1.64 (quint, *J* = 7.1 Hz, 2H), 2.28 (s, 3H), 3.14 (t, *J* = 7.2 Hz, 2H), 6.67 (d, *J* = 8.6 Hz, 1H), 7.12-7.18 (m, 3H), 7.29 (s, 1H),

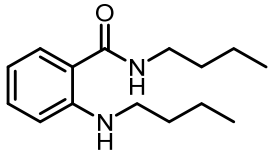
7.37 (t, J = 7.7 Hz, 2H), 7.55 (d, J = 8.4 Hz, 2H), 7.76 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.4, 20.5, 31.5, 43.2, 112.3, 115.1, 120.7, 123.8, 124.5, 127.7, 129.2, 134.2, 138.1, 148.2, 168.2; HRMS (TOF-MS) calcd. for $C_{18}H_{23}N_2O$ ($M+H^+$): 283.1810; found 283.1809

2-(butylamino)-4,5-dimethoxy-N-phenylbenzamide (**4d**)



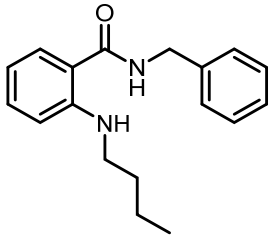
Following the general procedure above (Condition B), using **1d** (191.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **4d** (149.4 mg, 91%), Viscous colorless oil.; IR (neat) ν 3353, 2929, 2862, 1631, 1508, 1425, 1201, 1165, 756 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 0.95 (t, J = 7.4, 3H), 1.45 (sext, J = 7.6, 2H), 1.66 (quint, J = 7.3, 2H), 3.14 (t, J = 7.1, 2H), 3.84 (s, 3H), 3.89 (s, 3H), 6.25 (brs, 1 H), 7.09 (brs, 1H), 7.12 (t, J = 7.3, 1H), 7.34 (t, J = 7.5, 2H), 7.53 (d, J = 7.9, 2H), 7.97 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.5, 44.0, 55.8, 57.6, 96.4, 106.4, 112.5, 120.9, 124.3, 129.1, 138.2, 139.7, 147.1, 154.5, 167.7; HRMS (TOF-MS) calcd. for $C_{19}H_{25}N_2O_3$ ($M+H^+$): 329.1865; found 329.1867

N-butyl-2-(butylamino)benzamide (**4e**)



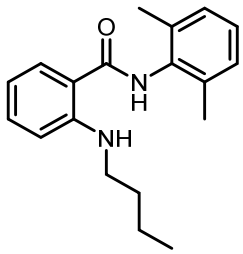
Following the general procedure above (Condition B), using **1e** (151.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **4e** (114.5 mg, 92%), Viscous colorless oil.; IR (neat) ν 3332, 2956, 2928, 2861, 1626, 1514, 1273, 1161, 742 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 0.93 (t, J = 7.3 Hz, 3H), 0.94 (t, J = 7.3 Hz, 3H), 1.35-1.48 (m, 4H), 1.56 (quint, J = 6.8 Hz, 2H), 1.64 (quint, J = 6.8 Hz, 2H), 3.11 (t, J = 7.0 Hz, 2H), 3.37 (q, J = 7.0 Hz, 2H), 6.14 (brs, 1H), 6.52 (t, J = 7.3 Hz, 1H), 6.66 (d, J = 8.3 Hz, 1H), 7.25 (t, J = 8.3 Hz, 1H), 7.30 (dd, J = 1.5 and 7.8 Hz, 1H), 7.49 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 13.9, 14.0, 20.3, 20.5, 31.4, 31.8, 39.5, 42.9, 111.6, 114.3, 115.3, 127.3, 132.7, 149.9, 170.0; HRMS (TOF-MS) calcd. for $C_{15}H_{25}N_2O$ ($M+H^+$): 249.1967; found 249.1967

N-benzyl-2-(butylamino)benzamide (**4f**)



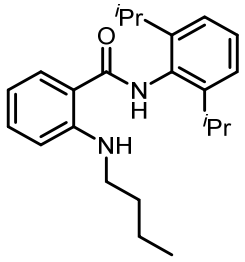
Following the general procedure above (Condition B), using **1f** (168.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **4f** (139.8 mg, 99%), Viscous colorless oil.; IR (neat) ν 3340, 3033, 2953, 2927, 2849, 1627, 1509, 1452, 1275, 1158 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.97 (t, *J* = 7.0 Hz, 3H), 1.47 (sext, *J* = 7.6 Hz, 2H), 1.67 (quint, *J* = 7.3 Hz, 2H), 3.15 (t, *J* = 6.7 Hz, 2H), 4.60 (d, *J* = 5.6 Hz, 2H), 6.33 (brs, 1H), 6.54 (t, *J* = 7.9 Hz, 1H), 6.70 (d, *J* = 8.4 Hz, 1H), 7.28-7.38 (m, 7H), 7.61 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 43.8, 111.9, 114.5, 114.6, 127.4, 127.6, 127.9, 128.9, 133.0, 138.4, 150.0, 169.8; HRMS (TOF-MS) calcd. for $C_{18}H_{23}N_2O$ ($M+H^+$): 283.1810; found 283.1807

2-(butylamino)-N-(2,6-dimethylphenyl)benzamide (**4g**)



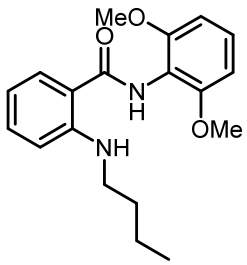
Following the general procedure above (Condition A), using **1g** (175.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4g** (145.2 mg, 98%), Viscous colorless oil.; IR (neat) ν 3255, 2956, 2924, 2856, 1628, 1509, 1234, 1275, 1234, 1159, 741 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.94 (t, *J* = 7.1 Hz, 3H), 1.44 (sext, *J* = 7.5 Hz, 2H), 1.64 (quint, *J* = 7.4 Hz, 2H), 2.29 (s, 6H), 3.15 (t, *J* = 6.9 Hz, 2H), 6.64 (t, *J* = 7.3 Hz, 1H), 6.75 (d, *J* = 8.3 Hz, 1H), 7.12-7.17 (m, 3H), 7.28 (brs, 1H), 7.37 (t, *J* = 8.6 Hz, 1H), 7.59 (d, *J* = 7.5 Hz, 2H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 18.7, 20.5, 31.3, 42.9, 111.9, 114.2, 114.4, 127.5, 127.7, 128.4, 133.4, 134.1, 135.7, 150.5, 168.6; HRMS (TOF-MS) calcd. for $C_{19}H_{25}N_2O$ ($M+H^+$): 297.1967; found 297.1969

2-(butylamino)-N-(2,6-diisopropylphenyl)benzamide (**4h**)



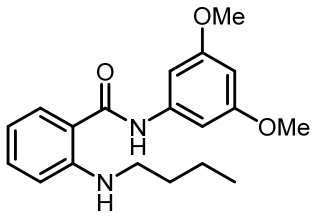
Following the general procedure above (Condition A), using **1h** (203.7 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4h** (147.7 mg, 84%), Viscous colorless oil.; IR (neat) ν 3370, 3272, 2959, 2865, 1627, 1512, 1273, 1166, 742 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.3 Hz, 3H), 1.26 (d, *J* = 6.7 Hz, 12H), 1.46 (sext, *J* = 7.6 Hz, 2H), 1.65 (quint, *J* = 7.0 Hz, 2H), 3.15-3.22 (m, 4H), 6.69 (t, *J* = 7.3 Hz, 1H), 6.77 (d, *J* = 8.5 Hz, 1H), 7.25-7.27 (m, 3H), 7.36 (d, *J* = 7.6 Hz, 1H), 7.40 (t, *J* = 8.4 Hz, 1H), 7.56 (brs, 1H), 7.62 (d, *J* = 7.8 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.4, 23.7, 29.0, 31.3, 42.8, 111.9, 114.4, 114.6, 123.7, 127.5, 128.6, 131.2, 133.3, 146.6, 150.4, 169.7; HRMS (TOF-MS) calcd. for $C_{23}H_{33}N_2O$ ($M+H^+$): 353.2593; found 353.2594

2-(butylamino)-N-(2,6-dimethoxyphenyl)benzamide (**4i**)



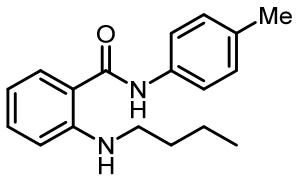
Following the general procedure above (Condition A), using **1i** (191.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4i** (163.8 mg, 99%), Viscous colorless oil.; IR (neat) ν 3336, 3261, 3068, 3023, 2965, 2923, 2836, 1636, 1581, 1509, 1475, 1254, 1114 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.92 (t, *J* = 7.4 Hz, 3H), 1.43 (sext, *J* = 7.4 Hz, 2H), 1.63 (quint, *J* = 7.5 Hz, 2H), 3.14 (q, *J* = 6.9 Hz, 2H), 3.83 (s, 6H), 6.61 (t, *J* = 7.2 Hz, 1H), 6.63 (d, *J* = 8.4 Hz, 2H), 6.71 (d, *J* = 8.4 Hz, 1H), 7.19 (t, *J* = 8.4 Hz, 2H), 7.33 (t, *J* = 7.1 Hz, 1H), 7.56 (brs, 1H), 7.65 (d, *J* = 7.6 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.6, 31.4, 42.9, 56.1, 104.5, 111.5, 114.2, 114.8, 114.9, 127.3, 128.7, 133.1, 150.4, 155.1, 168.6; HRMS (TOF-MS) calcd. for $C_{19}H_{25}N_2O_3$ ($M+H^+$): 329.1865; found 329.1866

2-(butylamino)-N-(3,5-dimethoxyphenyl)benzamide (**4j**)



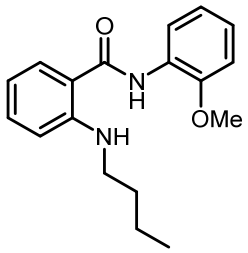
Following the general procedure above (Condition A), using **1j** (191.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4j** (164.0 mg, 99%), Viscous colorless oil.; IR (neat) ν 3333, 2956, 2928, 2856, 1533, 1450, 1149, 1067, 812, 747, 675 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.5 Hz, 3H), 1.45 (sext, *J* = 7.5 Hz, 2H), 1.65 (quint, *J* = 7.0 Hz, 2H), 3.15 (t, *J* = 7.0 Hz, 2H), 3.80 (s, 6H), 6.27 (t, *J* = 2.3 Hz, 1H), 6.61 (t, *J* = 7.3 Hz, 1H), 6.72 (d, *J* = 8.4 Hz, 1H), 6.78 (d, *J* = 2.0 Hz, 2H), 7.31-7.35 (m, 1H), 7.36 (brs, 1H), 7.46 (dd, *J* = 1.4 and 7.9 Hz, 1H), 7.71 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 55.5, 96.9, 98.9, 112.0, 114.6, 115.0, 127.5, 133.4, 139.8, 150.2, 161.2, 168.3; HRMS (TOF-MS) calcd. for $C_{19}H_{25}N_2O_3$ ($M+H^+$): 329.1865; found 329.1865

2-(butylamino)-N-(p-tolyl)benzamide (**4k**)



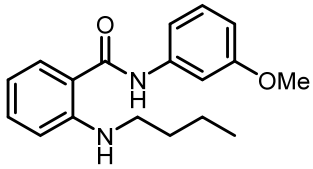
Following the general procedure above (Condition A), using **1k** (168.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4k** (136.0 mg, 96%), Viscous colorless oil.; IR (neat) ν 3382, 3315, 2954, 2927, 2859, 1634, 1509, 1254 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.2 Hz, 3H), 1.45 (sext, *J* = 7.6 Hz, 2H), 1.65 (quint, *J* = 7.4 Hz, 2H), 2.34 (s, 3H), 3.16 (q, *J* = 7.0 Hz, 2H), 6.62 (t, *J* = 6.8 Hz, 1H), 6.72 (d, *J* = 8.4 Hz, 1H), 7.17 (d, *J* = 8.4 Hz, 2H), 7.33 (t, *J* = 7.0 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 3H), 7.47 (dd, *J* = 1.5 and 7.7 Hz, 1H), 7.67 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 21.0, 31.4, 42.9, 111.9, 114.6, 115.1, 121.0, 127.5, 129.7, 133.3, 134.2, 135.4, 150.2, 168.3; HRMS (TOF-MS) calcd. for $C_{19}H_{25}N_2O$ ($M+H^+$): 297.1967; found 297.1967

2-(butylamino)-N-(2-methoxyphenyl)benzamide (**4l**)



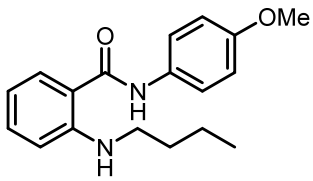
Following the general procedure above (Condition A), using **1l** (176.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (2.0 mL) at room temperature for 20 h, yielded the product **4l** (124.7 mg, 84%), Viscous colorless oil.; IR (neat) ν 3415, 3364, 2956, 2934, 2901, 2869, 2842, 1644, 1514, 1456, 1249, 1228, 744 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.96 (t, *J* = 7.4 Hz, 3H), 1.47 (sext, *J* = 7.6 Hz, 2H), 1.67 (quint, *J* = 7.4 Hz, 2H), 3.18 (q, *J* = 7.1 Hz, 2H), 3.91 (s, 3H), 6.64 (t, *J* = 7.1 Hz, 1H), 6.73 (d, *J* = 8.4 Hz, 1H), 6.92 (dd, *J* = 1.3 and 8.0 Hz, 1H), 7.01 (t, *J* = 7.7 Hz, 1H), 7.07 (dt, *J* = 1.6 and 7.8 Hz, 1H), 7.37 (t, *J* = 7.8 Hz, 1H), 7.52 (d, *J* = 7.9 Hz, 2H), 8.38 (d, *J* = 8.0 Hz, 1H), 8.41 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 55.9, 110.1, 111.8, 114.5, 115.4, 120.1, 121.2, 123.8, 127.7, 127.9, 133.2, 148.5, 150.3, 168.1; HRMS (TOF-MS) calcd. for $C_{18}H_{23}N_2O_2$ ($M+H^+$): 299.1760; found 299.1758

2-(butylamino)-N-(3-methoxyphenyl)benzamide (**4m**)



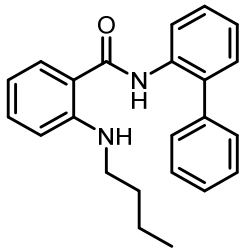
Following the general procedure above (Condition A), using **1m** (176.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4m** (128.2 mg, 86%), Viscous colorless oil.; IR (neat) ν 3393, 3271, 2916, 2856, 1633, 1576, 1490, 1414, 1281, 1156, 1045 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.97 (t, *J* = 7.4 Hz, 3H), 1.47 (sext, *J* = 7.4 Hz, 2H), 1.66 (quint, *J* = 7.1 Hz, 2H), 3.16 (t, *J* = 7.1 Hz, 2H), 3.83 (s, 3H), 6.61 (t, *J* = 7.1 Hz, 1H), 6.70-6.74 (m, 2H), 7.07 (d, *J* = 8.0 Hz, 1H), 7.24-7.29 (m, 2H), 7.32-7.36 (m, 1H), 7.40 (brs, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.83 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 55.4, 106.5, 110.3, 112.0, 113.0, 114.6, 115.0, 127.6, 129.8, 133.4, 139.3, 150.3, 160.3, 168.4; HRMS (TOF-MS) calcd. for $C_{18}H_{23}N_2O_2$ ($M+H^+$): 299.1760; found 299.1761

2-(butylamino)-N-(4-methoxyphenyl)benzamide (**4n**)



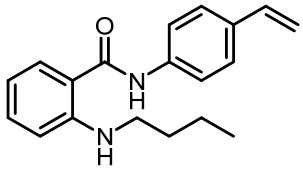
Following the general procedure above (Condition A), using **1n** (176.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (2.0 mL) at room temperature for 20 h, yielded the product **4n** (147.9 mg, 99%), Viscous colorless oil.; IR (neat) ν 3385, 3271, 2958, 2915, 2854, 1632, 1508, 1229, 1029, 821 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.5 Hz, 3H), 1.45 (sext, *J* = 7.5 Hz, 2H), 1.65 (quint, *J* = 6.7 Hz, 2H), 3.15 (q, *J* = 6.9 Hz, 2H), 3.81 (s, 3H), 6.61 (t, *J* = 7.1 Hz, 1H), 6.72 (d, *J* = 8.4 Hz, 1H), 6.91 (d, *J* = 8.3 Hz, 2H), 7.33 (t, *J* = 8.0 Hz, 1H), 7.43 (d, *J* = 8.3 Hz, 3H), 7.47 (d, *J* = 7.7 Hz, 1H), 7.64 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.3, 43.1, 55.6, 112.1, 114.4, 114.8, 115.1, 122.9, 127.5, 130.9, 133.3, 150.0, 156.8, 168.3; HRMS (TOF-MS) calcd. for $C_{18}H_{23}N_2O_2$ ($M+H^+$): 299.1760; found 299.1758

N-([1,1'-biphenyl]-2-yl)-2-(butylamino)benzamide (**4o**)



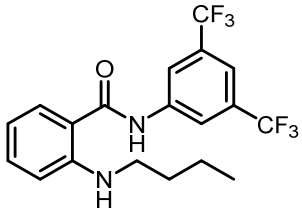
Following the general procedure above (Condition A), using **1o** (199.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (2.0 mL) at room temperature for 20 h, yielded the product **4o** (165.3 mg, 96%), Viscous colorless oil.; IR (neat) ν 3358, 3310, 2961, 2931, 2867, 2827, 1630, 1576, 1471, 698 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.80 (t, *J* = 7.4 Hz, 3H), 1.30 (sext, *J* = 7.7 Hz, 2H), 1.50 (quint, *J* = 7.5 Hz, 2H), 2.98 (t, *J* = 4.5 Hz, 2H), 6.26 (t, *J* = 7.2 Hz, 1H), 6.51 (d, *J* = 8.5 Hz, 1H), 6.81 (d, *J* = 7.8 Hz, 1H), 7.02-7.14 (m, 3H), 7.22-7.27 (m, 4H), 7.30-7.33 (m, 2H), 7.50 (brs, 1H), 7.70 (brs, 1H), 8.21 (d, *J* = 8.1 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 112.0, 114.5, 114.6, 121.8, 124.4, 127.2, 128.2, 128.6, 129.3, 129.5, 130.3, 132.9, 133.3, 135.2, 138.3, 150.6, 168.0; HRMS (TOF-MS) calcd. for $C_{23}H_{25}N_2O$ ($M+H^+$): 345.1967; found 345.1967

2-(butylamino)-N-(4-vinylphenyl)benzamide (**4p**)



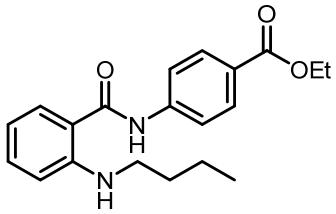
Following the general procedure above (Condition A), using **1p** (174.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (2.0 mL) at room temperature for 20 h, yielded the product **4p** (118.5 mg, 81%), Viscous colorless oil.; IR (neat) ν 3392, 3263, 3094, 3035, 2955, 2924, 2858, 1636, 1576, 1508, 1298, 1245, 989 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.4 Hz, 3H), 1.46 (sext, *J* = 7.7 Hz, 2H), 1.65 (quint, *J* = 7.1 Hz, 2H), 3.16 (t, *J* = 7.1 Hz, 2H), 5.21 (d, *J* = 10.9 Hz, 1H), 5.71 (d, *J* = 17.6 Hz, 1H), 6.60-6.63 (m, 1H), 6.67-6.73 (m, 2H), 7.32-7.36 (m, 1H), 7.40-7.42 (m, 3H), 7.47 (dd, *J* = 1.5 and 7.9 Hz, 1H), 7.50-7.53 (m, 2H), 7.77 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 112.0, 113.2, 114.6, 114.8, 120.6, 127.0, 127.5, 133.4, 133.9, 136.3, 137.6, 150.3, 168.2; HRMS (TOF-MS) calcd. for $C_{19}H_{23}N_2O$ ($M+H^+$): 295.1810; found 295.1810

N-(3,5-bis(trifluoromethyl)phenyl)-2-(butylamino)benzamide (**4q**)



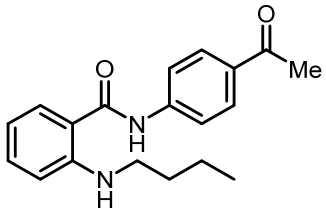
Following the general procedure above (Condition A), using **1q** (229.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4q** (138.3 mg, 68%), Viscous colorless oil.; IR (neat) ν 3401, 3282, 2963, 1637, 1516, 1269, 1133 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.97 (t, *J* = 7.4 Hz, 3H), 1.47 (sext, *J* = 7.7 Hz, 2H), 1.68 (quint, *J* = 7.5 Hz, 2H), 3.18 (t, *J* = 7.1 Hz, 2H), 6.64 (t, *J* = 7.7 Hz, 1H), 6.76 (d, *J* = 8.5 Hz, 1H), 7.37 (t, *J* = 7.5 Hz, 1H), 7.45 (brs, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.62 (s, 1H), 7.99 (brs, 1H), 8.08 (s, 2 H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.3, 42.9, 112.5, 113.3, 114.8, 117.5 (m), 120.0 (d, *J* = 3.1 Hz), 123 (q, *J* = 273 Hz), 127.4, 132.5 (q, *J* = 33.2 Hz), 134.2, 139.7, 150.7, 168.2; HRMS (TOF-MS) calcd. for $C_{19}H_{19}F_6N_2O$ ($M+H^+$): 405.1402; found 405.1403

ethyl 4-(2-(butylamino)benzamido)benzoate (**4r**)



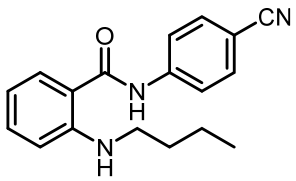
Following the general procedure above (Condition A), using **1r** (197.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4r** (161.7 mg, 95%), Viscous colorless oil.; IR (neat) ν 3240, 3319, 2988, 2955, 2930, 2863, 1692, 1521, 1401, 1321, 1185, 854 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.4 Hz, 3H), 1.39 (t, *J* = 7.2 Hz, 3H), 1.45 (sext, *J* = 7.4 Hz, 2H), 1.65 (quint, *J* = 7.4 Hz, 2H), 3.16 (q, *J* = 6.9 Hz, 2H), 4.36 (q, *J* = 6.9 Hz, 2H), 6.60 (t, *J* = 7.2 Hz, 1H), 6.72 (d, *J* = 8.5 Hz, 1H), 7.32-7.35 (m, 1H), 7.42 (brs, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.65 (d, *J* = 8.7 Hz, 2H), 8.03 (d, *J* = 8.8 Hz, 3H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 14.5, 20.5, 31.3, 42.9, 61.0, 112.2, 114.3, 114.6, 119.4, 125.9, 127.6, 130.9, 133.8, 142.4, 150.5, 166.3, 168.3; HRMS (TOF-MS) calcd. for $C_{20}H_{25}N_2O_3$ ($M+H^+$): 341.1865; found 341.1865

N-(4-acetylphenyl)-2-(butylamino)benzamide (**4s**)



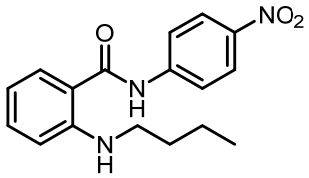
Following the general procedure above (Condition A), using **1s** (182.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **4s** (117.5 mg, 76%), Viscous colorless oil.; IR (neat) ν 3384, 3352, 2959, 2933, 2853, 1671, 1645, 1509, 1340, 1254, 1154, 819 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.4 Hz, 3H), 1.46 (sext, *J* = 7.7 Hz, 2H), 1.66 (quint, *J* = 7.3 Hz, 2H), 2.58 (s, 3H), 3.17 (q, *J* = 6.9 Hz, 2H), 6.61 (t, *J* = 7.9 Hz, 1H), 6.73 (d, *J* = 8.5 Hz, 1H), 7.33-7.36 (m, 1H), 7.43 (brs, 1H), 7.50 (d, *J* = 7.9 Hz, 1H), 7.67 (d, *J* = 8.6 Hz, 2H), 7.96 (d, *J* = 8.6 Hz, 2H), 8.06 (s, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 26.6, 31.3, 42.9, 112.2, 114.2, 114.7, 119.6, 127.6, 129.9, 132.9, 133.8, 142.7, 150.5, 168.3, 197.2; HRMS (TOF-MS) calcd. for $C_{19}H_{23}N_2O_2$ ($M+H^+$): 311.1760; found 311.1759

2-(butylamino)-N-(4-cyanophenyl)benzamide (**4t**)



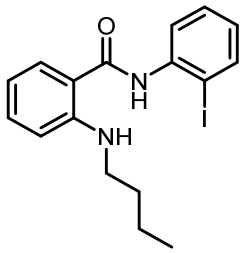
Following the general procedure above (Condition B), using **1t** (174.1 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **4t** (129.8 mg, 88%), Viscous colorless oil.; IR (neat) ν 3343, 2959, 2928, 2872, 2841, 2221, 1653, 1653, 1506, 1402, 1301, 1218, 835 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.96 (t, *J* = 7.6 Hz, 3H), 1.46 (sext, *J* = 7.6 Hz, 2H), 1.66 (quint, *J* = 7.3 Hz, 2H), 3.17 (q, *J* = 7.1 Hz, 2H), 6.62 (t, *J* = 7.2 Hz, 1H), 6.74 (d, *J* = 8.4 Hz, 1H), 7.34-7.38 (m, 1H), 7.42 (brs, 1H), 7.49 (dd, *J* = 1.5 and 7.9 Hz, 1H), 7.60-7.62 (m, 2H), 7.68-7.71 (m, 2H), 8.04 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.3, 42.9, 106.9, 112.3, 113.7, 114.7, 119.1, 120.2, 127.6, 133.4, 134.1, 142.4, 150.6, 168.3; HRMS (TOF-MS) calcd. for $C_{18}H_{20}N_3O$ ($M+H^+$): 294.1606; found 294.1607

2-(butylamino)-N-(4-nitrophenyl)benzamide (**4u**)



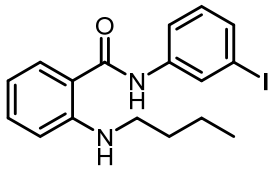
Following the general procedure above (Condition C), using **1u** (184.1 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Pyridine (1.0 mL) at room temperature for 20 h, yielded the product **4u** (133.4 mg, 85%), Viscous colorless oil.; IR (neat) ν 3428, 3396, 3314, 2955, 2926, 2853, 1660, 1491, 1298, 1209, 1109, 850 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.4 Hz, 3H), 1.45 (sext, *J* = 7.7 Hz, 2H), 1.65 (quint, *J* = 7.2 Hz, 2H), 3.15 (q, *J* = 6.9 Hz, 2H), 6.59 (t, *J* = 7.1 Hz, 1H), 6.72 (d, *J* = 8.6 Hz, 1H), 7.34 (t, *J* = 7.2 Hz, 1H), 7.42 (brs, 1H), 7.49 (d, *J* = 7.9 Hz, 1H), 7.74 (d, *J* = 9.2 Hz, 3H), 8.17 (d, *J* = 9.2 Hz, 1H), 8.31 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 13.9, 20.4, 31.3, 42.9, 112.3, 113.6, 114.7, 119.6, 125.1, 127.7, 134.2, 143.3, 144.4, 150.6, 168.3; HRMS (TOF-MS) calcd. for $C_{17}H_{20}N_3O_3$ ($M+H^+$): 314.1505; found 314.1506

2-(butylamino)-N-(2-iodophenyl)benzamide (**6a**)



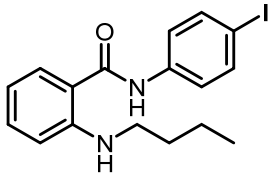
Following the general procedure above (Condition C), using **5a** (224.5 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Pyridine (1.0 mL) at room temperature for 20 h, yielded the product **6a** (186.3 mg, 94%), Viscous colorless oil.; IR (neat) ν 3412, 3327, 2958, 2924, 2857, 1654, 1573, 1517, 1415, 1293, 1211, 1006, 732 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.97 (t, *J* = 7.4 Hz, 3H), 1.47 (sext, *J* = 7.5 Hz, 2H), 1.68 (quint, *J* = 7.3 Hz, 2H), 3.18 (q, *J* = 6.4 Hz, 2H), 6.65-6.68 (m, 1H), 6.75 (d, *J* = 8.7 Hz, 1H), 6.87 (dt, *J* = 1.6 and 7.4 Hz, 1H), 7.35-7.41 (m, 2H), 7.63-7.65 (m, 2H), 7.82 (dd, *J* = 1.4 and 8.0 Hz, 1H), 8.13 (brs, 1H), 8.29 (dd, *J* = 1.6 and 8.2 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ:14.1, 20.5, 31.4, 42.9, 90.9, 112.1, 114.0, 114.7, 122.2, 126.0, 127.7, 129.3, 133.8, 138.5, 139.0, 150.7, 168.1; HRMS (TOF-MS) calcd. for $C_{17}H_{20}IN_2O$ ($M+H^+$): 395.0620; found 395.0620

2-(butylamino)-N-(3-iodophenyl)benzamide (**6b**)



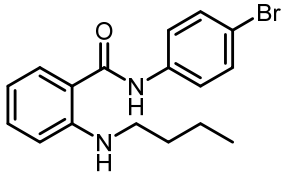
Following the general procedure above (Condition A), using **5b** (224.5 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6b** (170.1 mg, 86%), Viscous colorless oil.; IR (neat) ν 3384, 3269, 2597, 2920, 2854, 1636, 1577, 1512, 1410, 1273, 774 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.96 (t, *J* = 7.3 Hz, 3H), 1.45 (sext, *J* = 7.7 Hz, 2H), 1.66 (quint, *J* = 7.1 Hz, 2H), 3.16 (t, *J* = 7.0 Hz, 2H), 6.62 (t, *J* = 8.0 Hz, 1H), 6.73 (d, *J* = 8.4 Hz, 1H), 7.08 (t, *J* = 8.0 Hz, 1H), 7.35 (t, *J* = 8.5 Hz, 1H), 7.41 (brs, 1H), 7.44 (d, *J* = 7.9 Hz, 1H), 7.67 (d, *J* = 7.9 Hz, 1H), 7.50 (d, *J* = 8.2 Hz, 1H), 7.68 (brs, 1H), 7.98 (t, *J* = 1.8 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ:14.0, 20.5, 31.4, 42.9, 94.3, 112.1, 114.3, 114.6, 119.8, 127.5, 129.3, 130.6, 133.4, 133.7, 139.3, 150.4, 168.2.; HRMS (TOF-MS) calcd. for $C_{17}H_{20}IN_2O$ ($M+H^+$): 395.0620; found 395.0621

2-(butylamino)-N-(4-iodophenyl)benzamide (**6c**)



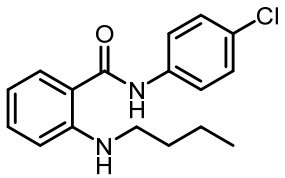
Following the general procedure above (Condition A), using **5c** (2224.5 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6c** (138.2 mg, 70%), Viscous colorless oil.; IR (neat) ν 3340, 3332, 2955, 2924, 2859, 1635, 1573, 1497, 1388, 1279, 1218, 1005, 814 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.4 Hz, 3H), 1.45 (sext, *J* = 7.6 Hz, 2H), 1.65 (quint, *J* = 7.6 Hz, 2H), 3.16 (t, *J* = 6.9 Hz, 2H), 6.62 (t, *J* = 7.3 Hz, 1H), 6.72 (d, *J* = 8.4 Hz, 1H), 7.32-7.36 (m, 3H), 7.40 (brs, 1H), 7.45 (d, *J* = 7.9 Hz, 1H), 7.66 (d, *J* = 8.8 Hz, 2H), 7.70 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 87.7, 112.1, 114.5, 114.6, 122.5, 127.5, 133.7, 137.9, 138.1, 150.4, 168.2.; HRMS (TOF-MS) calcd. for $C_{17}H_{20}IN_2O$ ($M+H^+$): 395.0620; found 395.0622

N-(4-bromophenyl)-2-(butylamino)benzamide (**6d**)



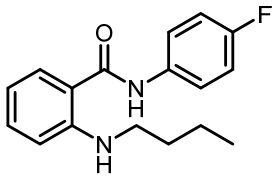
Following the general procedure above (Condition A), using **5d** (201.0 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6d** (157.2 mg, 91%), Viscous colorless oil.; IR (neat) ν 3407, 3351, 2960, 2926, 2857, 1644, 1573, 1502, 1390, 1284, 1151, 1008, 818 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.3 Hz, 3H), 1.45 (sext, *J* = 7.7 Hz, 2H), 1.65 (quint, *J* = 7.5 Hz, 2H), 3.16 (t, *J* = 7.0 Hz, 2H), 6.62 (t, *J* = 7.2 Hz, 1H), 6.73 (d, *J* = 8.3 Hz, 1H), 7.34 (t, *J* = 8.6 Hz, 1H), 7.40 (brs, 1H), 7.43-7.49 (m, 5H), 7.71 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ:14.0, 20.5, 31.4, 42.9, 112.2, 114.4, 114.7, 117.1, 122.2, 127.5, 132.2, 133.7, 137.2, 150.4, 168.2.; HRMS (TOF-MS) calcd. for $C_{17}H_{20}BrN_2O$ ($M+H^+$): 347.0759; found 347.0760

2-(butylamino)-N-(4-chlorophenyl)benzamide (**6e**)



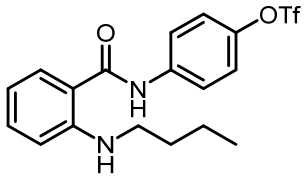
Following the general procedure above (Condition A), using **5e** (178.8 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6e** (125.3 mg, 83%), Viscous colorless oil.; IR (neat) ν 3395, 3331, 2958, 2928, 2858, 1637, 1574, 1501, 1394, 820 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.4 Hz, 3H), 1.45 (sext, *J* = 7.5 Hz, 2H), 1.66 (quint, *J* = 7.3 Hz, 2H), 3.16 (t, *J* = 6.9 Hz, 2H), 6.62 (t, *J* = 7.9 Hz, 1H), 6.73 (d, *J* = 8.5 Hz, 1H), 7.31-7.36 (m, 3H), 7.40 (brs, 1H), 7.46 (d, *J* = 7.9 Hz, 1H), 7.50 (d, *J* = 8.9 Hz, 2H), 7.71 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 112.1, 114.5, 114.6, 122.0, 127.5, 129.2, 129.5, 133.6, 136.6, 150.4, 168.3; HRMS (TOF-MS) calcd. for $C_{17}H_{20}ClN_2O$ ($M+H^+$): 303.1264; found 303.1266

2-(butylamino)-N-(4-fluorophenyl)benzamide (**6f**)



Following the general procedure above (Condition A), using **5f** (170.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6f** (128.7 mg, 90%), Viscous colorless oil.; IR (neat) ν 3403, 3330, 2955, 2929, 2850, 1632, 1509, 1400, 1211, 1154, 818 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.4 Hz, 3H), 1.45 (sext, *J* = 7.6 Hz, 2H), 1.65 (quint, *J* = 7.7 Hz, 2H), 3.15 (t, *J* = 7.1 Hz, 2H), 6.61 (t, *J* = 8.2 Hz. 1H), 6.73 (d, *J* = 8.4 Hz, 1H), 7.05 (t, *J* = 8.6 Hz, 2H), 7.34 (t, *J* = 7.0 Hz, 1H), 7.43 (brs, 1H), 7.46-7.50 (m, 3H), 7.73 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 112.1, 114.6, 114.6, 115.8 (d, *J* = 22.0 Hz), 112.8 (d, *J* = 8.0 Hz), 127.5, 133.5, 133.9 (d, *J* = 2.8 Hz), 150.4, 159.7 (d, *J* = 243.7 Hz), 168.3.; HRMS (TOF-MS) calcd. for $C_{17}H_{20}FN_2O$ ($M+H^+$): 287.1560; found 287.1560

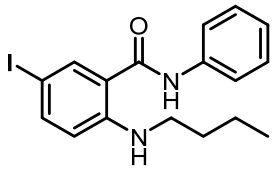
4-(2-(butylamino)benzamido)phenyl trifluoromethanesulfonate (**6g**)



Following the general procedure above (Condition A), using **5g** (235.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6g** (195.9 mg, 94%), Viscous colorless oil.; IR (neat) ν 3417, 3351, 2968, 2930, 2846, 1646, 1508, 1423, 1219, 1194, 1134, 890 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.96 (t, *J* = 7.3 Hz, 3H), 1.46 (sext, *J* = 7.3

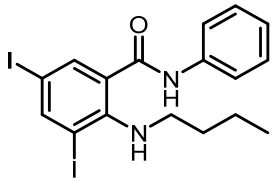
Hz, 2H), 1.66 (quint, *J* = 7.8 Hz, 2H), 3.16 (t, *J* = 7.1 Hz, 2H), 6.63 (t, *J* = 7.4 Hz, 1H), 6.74 (d, *J* = 8.5 Hz, 1H), 7.27 (d, *J* = 8.5 Hz, 2H), 7.63 (t, *J* = 8.5 Hz, 1H), 7.40 (brs, 1H), 7.46 (d, *J* = 7.8 Hz, 1H), 7.64 (d, *J* = 9.0 Hz, 2H), 7.83 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.4, 42.9, 112.3, 114.1, 114.7, 118.9 (q, *J* = 322.3 Hz), 121.8, 122.2, 122.7, 127.5, 133.9, 138.2, 145.5, 150.5, 168.3.; HRMS (TOF-MS) calcd. for $C_{18}H_{20}F_3N_2O_4S$ ($M+H^+$): 417.1096; found 417.1097

2-(butylamino)-5-iodo-N-phenylbenzamide (**6h**)



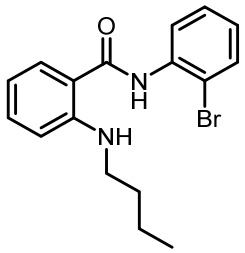
Following the general procedure above (Condition C), using **5h** (224.5 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Pyridine (1.0 mL) at room temperature for 20 h, yielded the product **6h** (177.4 mg, 90%), Viscous colorless oil.; IR (neat) ν 3384, 3283, 2960, 2928, 2862, 1635, 1499, 1311, 1248, 750 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 0.94 (t, *J* = 7.3 Hz, 3H), 1.43 (sext, *J* = 7.7 Hz, 2H), 1.62 (quint, *J* = 7.7 Hz, 2H), 3.11 (t, *J* = 6.5 Hz, 2H), 6.49 (d, *J* = 8.9 Hz, 1H), 7.16 (t, *J* = 7.6 Hz, 1H), 7.35-7.38 (m, 3H), 7.52-7.54 (m, 3H), 7.67 (brs, 1H), 7.71 (d, *J* = 2.1 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ:14.0, 20.5, 31.2, 42.8, 74.0, 114.3, 117.5, 120.9, 124.9, 129.2, 135.7, 137.6, 141.5, 149.5, 167.0; HRMS (TOF-MS) calcd. for $C_{17}H_{20}IN_2O$ ($M+H^+$): 395.0620; found 395.0623

2-(butylamino)-3,5-diiodo-N-phenylbenzamide (**6i**)



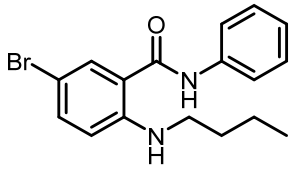
Following the general procedure above (Condition B), using **5i** (287.5 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **6i** (164.0 mg, 63%), Viscous colorless oil.; IR (neat) ν 3355, 3241, 3044, 2946, 2929, 2856, 1644, 1526, 1317, 1237, 269 cm^{-1}; ^{1}H NMR ($CDCl_3$) δ: 0.88 (t, *J* = 7.2 Hz, 3H), 1.37 (sext, *J* = 7.7 Hz, 2H), 1.61 (quint, *J* = 7.3 Hz, 2H), 2.98 (t, *J* = 7.2 Hz, 2H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.37 (t, *J* = 7.5 Hz, 2H), 7.66 (d, *J* = 7.5 Hz, 2H), 8.16 (d, *J* = 2.2 Hz, 1H), 8.32 (d, *J* = 2.1 Hz, 1H), 10.6 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 13.9, 20.4, 32.6, 51.4, 87.3, 97.7, 120.0, 124.6, 129.0, 129.3, 138.1, 140.9, 146.8, 149.0, 162.6; HRMS (TOF-MS) calcd. for $C_{17}H_{19}I_2N_2O$ ($M+H^+$): 520.9587; found 520.9587

N-(2-bromophenyl)-2-(butylamino)benzamide (**6j**)



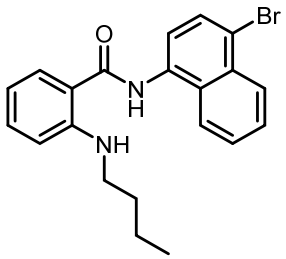
Following the general procedure above (Condition A), using **5j** (201.0 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6j** (173.3 mg, 99%), Viscous colorless oil.; IR (neat) ν 3420, 3327, 2953, 2924, 2863, 1652, 1576, 1515, 1420, 1298, 1217, 1153, 1021, 733 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.97 (t, *J* = 7.4 Hz, 3H), 1.48 (sext, *J* = 7.4 Hz, 2H), 1.68 (quint, *J* = 7.2 Hz, 2H), 3.19 (q, *J* = 5.2 Hz, 2H), 6.68 (t, *J* = 7.2 Hz, 1H), 6.75 (d, *J* = 8.5 Hz, 1H), 7.0 (t, *J* = 8.0 Hz, 1H), 7.34-7.38 (m, 2H), 7.57-7.61 (m, 3H), 8.31 (brs, 1H), 8.4 (d, *J* = 8.3 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.1, 20.5, 31.4, 42.9, 112.1, 114.23, 114.24, 114.7, 112.1, 125.1, 127.6, 128.5, 132.4, 133.8, 136.1, 150.7, 168.0.; HRMS (TOF-MS) calcd. for $C_{17}H_{20}BrN_2O$ ($M+H^+$): 347.0759; found 347.0760

5-bromo-2-(butylamino)-N-phenylbenzamide (**6k**)



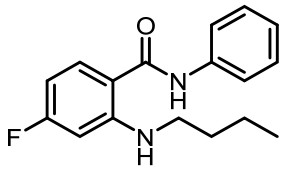
Following the general procedure above (Condition A), using **5k** (201.0 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6k** (91.8 mg, 53%), Viscous colorless oil.; IR (neat) ν 3390, 3289, 2560, 2923, 2863, 1636, 1596, 1500, 1248, 808 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.94 (t, *J* = 7.4 Hz, 3H), 1.43 (sext, *J* = 7.7 Hz, 2H), 1.63 (quint, *J* = 7.0 Hz, 2H), 3.11 (q, *J* = 7.1 Hz, 2H), 6.60 (d, *J* = 9.0 Hz, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 7.35-7.41 (m, 4H), 7.53 (d, *J* = 8.4 Hz, 2H), 7.56 (d, *J* = 2.4 Hz, 1H), 7.65 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.2, 43.0, 105.7, 113.7, 116.6, 120.9, 124.9, 129.2, 129.9, 135.9, 137.6, 149.1, 167.1.; HRMS (TOF-MS) calcd. for $C_{17}H_{20}BrN_2O$ ($M+H^+$): 347.0759; found 347.0761

N-(4-bromonaphthalen-1-yl)-2-(butylamino)benzamide (**6l**)



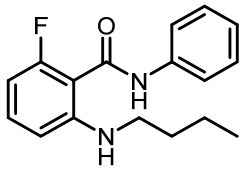
Following the general procedure above (Condition A), using **5l** (226.0 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), Pyridine Hydrochloride (2.9 mg, 0.025 mmol, 5.0 mol%), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (0.5 mL) at room temperature for 20 h, yielded the product **6l** (181.9 mg, 92%), Viscous colorless oil.; IR (neat) ν 3393, 3203, 2954, 2924, 2857, 1627, 1515, 1498, 1250, 744 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.93 (t, *J* = 7.4 Hz, 3H), 1.43 (sext, *J* =7.7 Hz, 2H), 1.65 (quint, 7.4 Hz, 2H), 3.13 (q, *J* = 7.1 Hz, 2H), 6.65 (t, *J* = 7.9 Hz, 1H), 6.75 (d, *J* = 8.4 Hz, 1H), 7.39 (t, *J* = 7.1 Hz, 1H), 7.50-7.53 (m, 2H), 7.58-7.64 (m, 3H), 7.73 (d, *J* = 8.0 Hz, 1H), 7.84 (d, *J* = 8.5 Hz, 1H), 8.14 (brs, 1H), 8.26 (d, *J* = 8.4 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.3, 42.9, 112.1, 114.0, 114.6, 120.3, 121.9, 122.5, 127.2, 127.5, 127.7, 128.1, 129.4, 129.7, 132.5, 132.6, 133.7, 150.6, 169.0.; HRMS (TOF-MS) calcd. for $C_{21}H_{22}BrN_2O$ ($M+H^+$): 397.0916; found 397.0917

2-(butylamino)-4-fluoro-N-phenylbenzamide (**6m**)



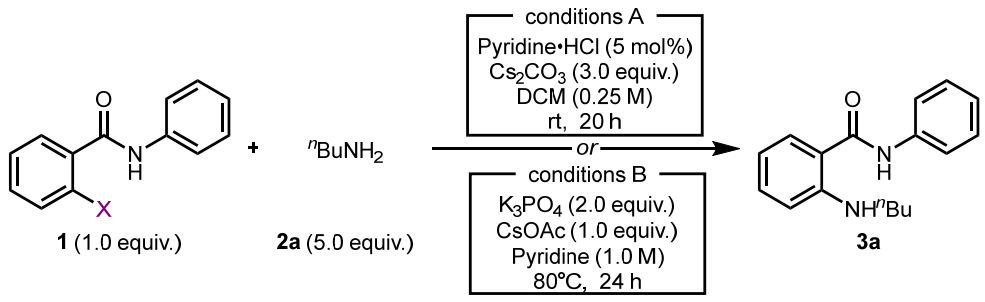
Following the general procedure above (Condition B), using **5m** (170.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **6m** (140.4 mg, 98%), Viscous colorless oil.; IR (neat) ν 3385, 3322, 2962, 2929, 2855, 1638, 1582, 1513, 1437, 1176, 993, 742 cm^{-1}; ^1H NMR ($CDCl_3$) δ: 0.95 (t, *J* = 7.3 Hz, 3H), 1.44 (sext, *J* = 7.7 Hz, 2H), 1.64 (quint, *J* = 7.1 Hz, 2H), 3.10 (q, *J* = 5.1 Hz, 2H), 6.30 (dt, *J* = 2.6 and 8.6 Hz, 1H), 6.36 (dd, *J* = 2.4 and 12.3 Hz, 1H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.37 (t, *J* = 7.5 Hz, 2 H), 7.46 (dd, *J* = 6.2 and 8.7 Hz, 1H), 7.51 (d, *J* = 7.8 Hz, 2H), 7.62 (brs, 1H), 7.70 (brs, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.1, 43.0, 98.2 (d, *J* = 25.7 Hz), 101.7 (d, *J* = 23.0 Hz), 112.3 (d, *J* = 1.9 Hz), 120.9, 124.7, 129.2, 129.6 (d, *J* = 11.7 Hz), 137.8, 152.6 (d, *J* = 12.2 Hz), 166 (d, *J* = 249 Hz), 167.7. HRMS (TOF-MS) calcd. for $C_{17}H_{20}FN_2O$ ($M+H^+$): 287.1560; found 287.1560

2-(butylamino)-6-fluoro-N-phenylbenzamide (**6n**)



Following the general procedure above (Condition B), using **5n** (170.6 mg, 0.50 mmol), **2a** (0.25 mL, 2.5 mmol, 5.0 equiv.), K_3PO_4 (212.3 mg, 1.0 mmol, 2.0 equiv.), CsOAc (96.0 mg, 0.5 mmol, 1.0 equiv.) and Pyridine (0.5 mL) at 80°C for 24 h, yielded the product **6n** (130.9 mg, 91%), Viscous colorless oil.; IR (neat) ν 3387, 3299, 2955, 2929, 2867, 1640, 1539, 1460, 1320, 1254, 1143, 785 cm^{-1};^{1}H NMR ($CDCl_3$) δ: 0.96 (t, *J* = 7.4 Hz, 3H), 1.46 (sext, *J* = 7.7 Hz, 2H), 1.67 (quint, 7.2 Hz, 2H), 3.16 (q, *J* = 6.4 Hz, 2H), 6.33 (dd, *J* = 8.0 and 13.3 Hz, 1H), 6.49 (d, *J* = 8.6 Hz, 1H), 7.15 (t, *J* = 7.4 Hz, 1H), 7.20-7.24 (m, 1H), 7.37 (t, *J* = 7.6 Hz, 2H), 7.58 (d, *J* = 7.7 Hz, 2H), 8.13 (brs, 1H), 8.34 (d, *J* = 17.5 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 14.0, 20.5, 31.2, 43.3, 101.4 (d, *J* = 27.0 Hz), 102.7 (d, *J* = 13.5 Hz), 107.8 (d, *J* = 1.9 Hz), 121.2, 124.8, 129.2, 133.2 (d, *J* = 13.7 Hz), 137.8, 152.5 (d, *J* = 5.6 Hz), 162.4 (d, *J* = 243.4 Hz), 165.1.; HRMS (TOF-MS) calcd. for $C_{17}H_{20}FN_2O$ ($M+H^+$): 287.1560; found 287.1563

Reaction with other aryl halides

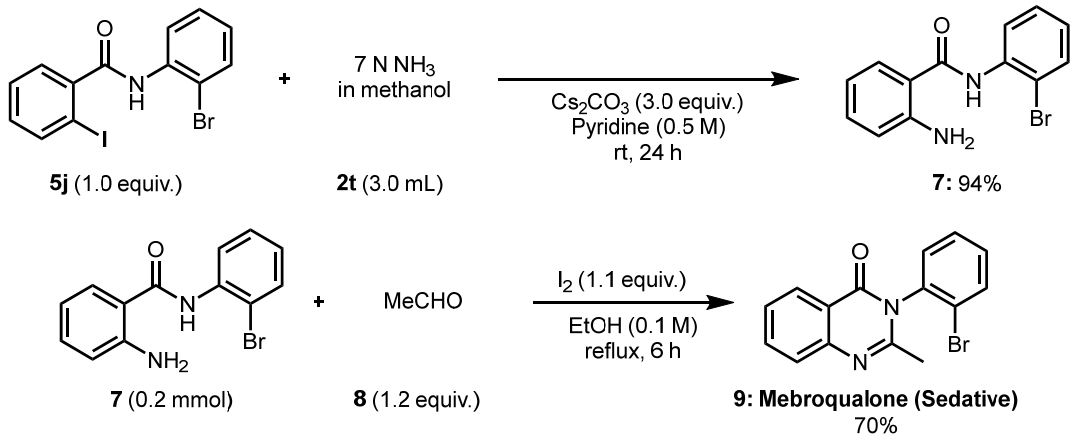


conditions A	
-X	yield of **3a**
-Br	0%
-Cl	0%
-F	0%

conditions B	
-X	yield of **3a**
-Br	52%
-Cl	0%
-F	19%

Synthetic applications

Synthesis of Mebroqualone (**9**)



General procedure for the synthesis of 7

Following the general procedure above (Condition C), using **5j** (201.0 mg, 0.50 mmol), Ammonia solution 7 N in methanol **2t** (3.0 mL), Cs_2CO_3 (488.7 mg, 1.5 mmol, 3.0 equiv.) and Dichloromethane (1.0 mL) at room temperature for 24 h, yielded the product **7** (136.9 mg, 94%); ^{1}H NMR ($CDCl_3$) δ: 5.63 (brs, 2H), 6.73-6.76 (m, 2H), 7.01 (dt, *J* = 1.7 and 7.9 Hz, 1H), 7.28 (t, *J* = 7.9 Hz, 1H), 7.36 (t, *J* = 8.4 Hz, 1H), 7.57 (t, *J* = 8.2 Hz, 2H), 8.35 (brs, 1H), 8.44 (dd, *J* = 1.5 and 8.3 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 114.2, 115.6, 117.1, 117.8, 122.1, 125.2, 127.3, 128.5, 132.4, 133.2, 136.0, 149.6, 167.4.

Ref. Majumdar, K. C.; Ganai, S. *Synlett*. **2011**, *13*, 1881-1887.

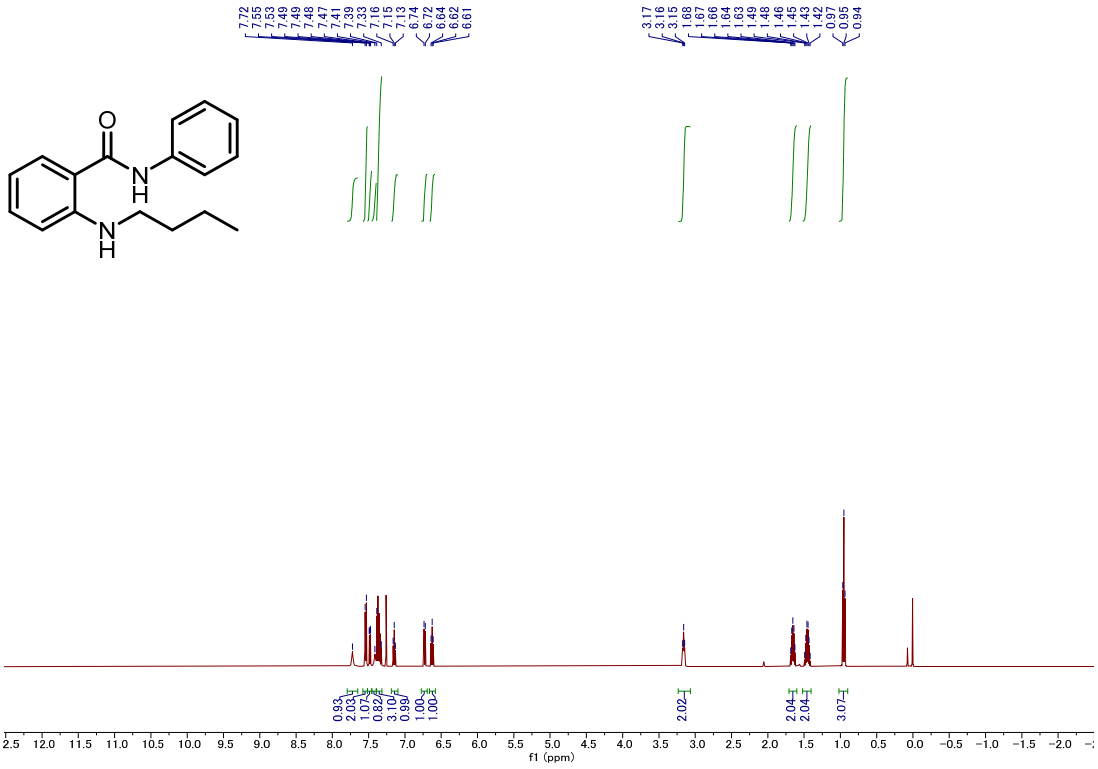
General procedure for the synthesis of 9

An oven-dried 30 mL flask equipped with magnetic stir bar was charged with 7 (58.2 mg, 0.2 mmol, 1.0 equiv.), acetaldehyde (10 μL, 0.24 mmol, 1.2 equiv.), I_2 (55.8 mg, 0.22 mmol, 1.1 equiv.), and EtOH (2 mL) were added. After cooling to room temperature, the reaction mixture was quenched with 5% $Na_2S_2O_3$ (1 mL) and concentrated to remove most of the solvent. The resulting residue was redissolved in ethyl acetate (15 mL), followed by the addition of brine (15 mL). The organic layer was separated, and the aqueous layer was extracted with ethyl acetate (15 mL). The combined organic layer was dried over anhydrous $MgSO_4$, concentrated, and purified through silica gel column chromatography using a mixture of EtOAc and hexane as eluent to afford the 9 (43.7 mg, 70%).^{1}H NMR ($CDCl_3$) δ: 2.23 (s, 3H), 7.36 (dd, *J* = 1.6 and 7.8 Hz, 1H), 7.40 (dt, *J* = 1.6 and 7.8 Hz, 1H), 7.47-7.54 (m, 2H), 7.70 (d, *J* = 7.7 Hz, 1H), 7.79 (dt, *J* = 1.4 and 8.1 Hz, 2H), 8.29 (dd, *J* = 1.3 and 7.9 Hz, 1H). ^{13}C NMR ($CDCl_3$) δ: 23.8, 120.8, 123.0, 126.9, 127.0, 127.3, 129.2, 130.0, 131.1, 134.1, 134.9, 137.2, 147.6, 153.8, 161.6.

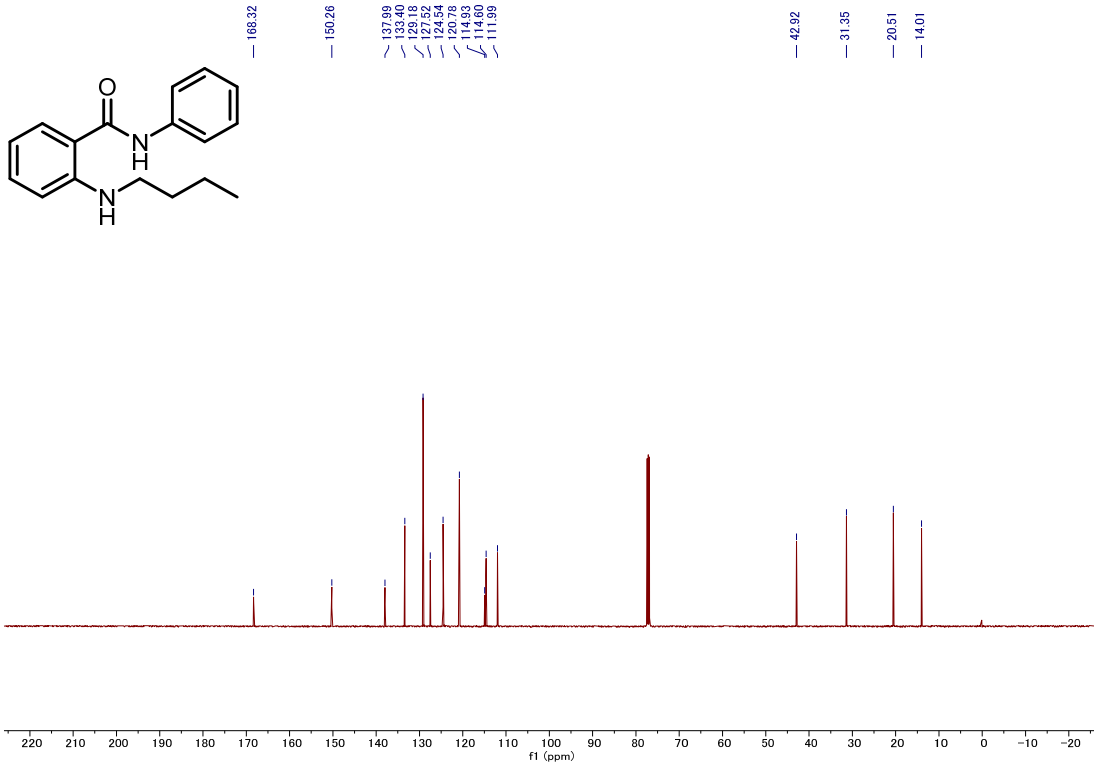
Ref. Kumar, D.; Jadhavar, P. S.; Nautiyal, M.; Sharma, H.; Meena, P. K.; Adane, L.; Pancholia, S.; Chakraborti, A. K. *RSC Adv.*, **2015**, *5*, 30819-30825.

4. Spectral Charts for Products

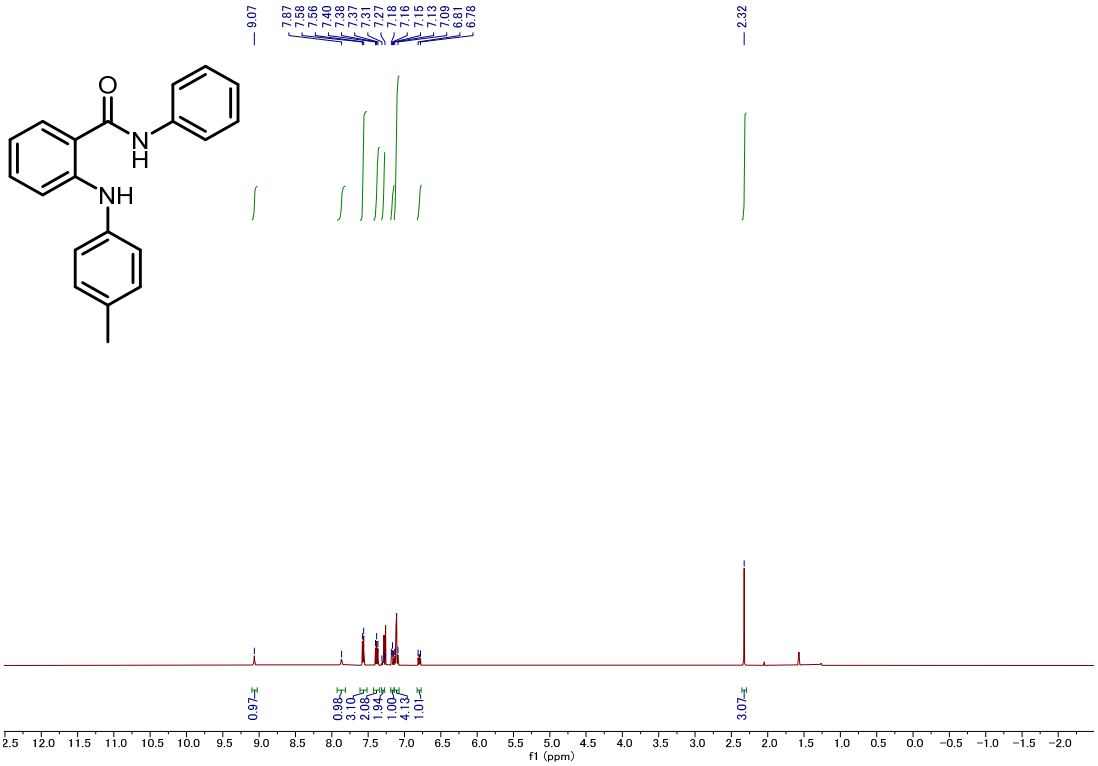
^{1}H NMR (**3a**)



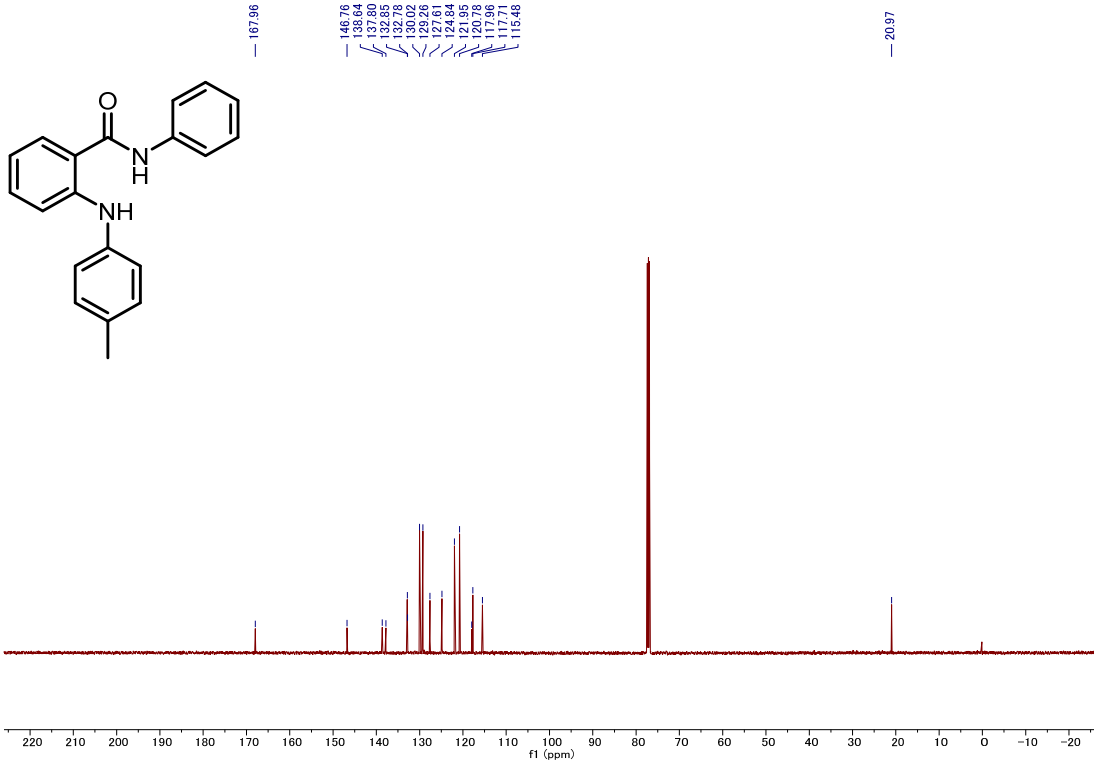
^{13}C NMR (**3a**)



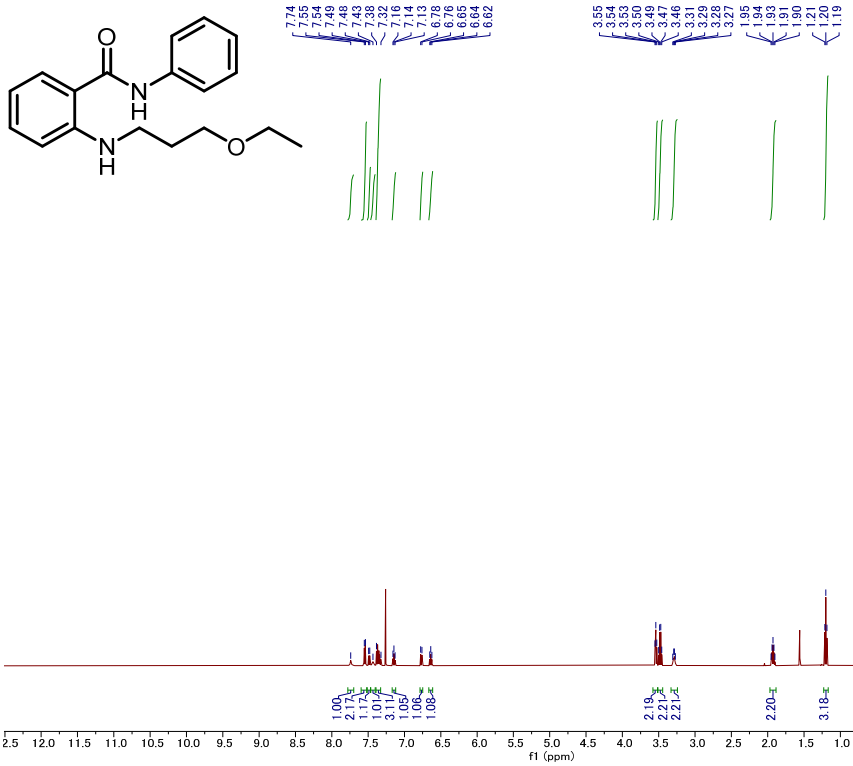
^{1}H NMR (**3b**)



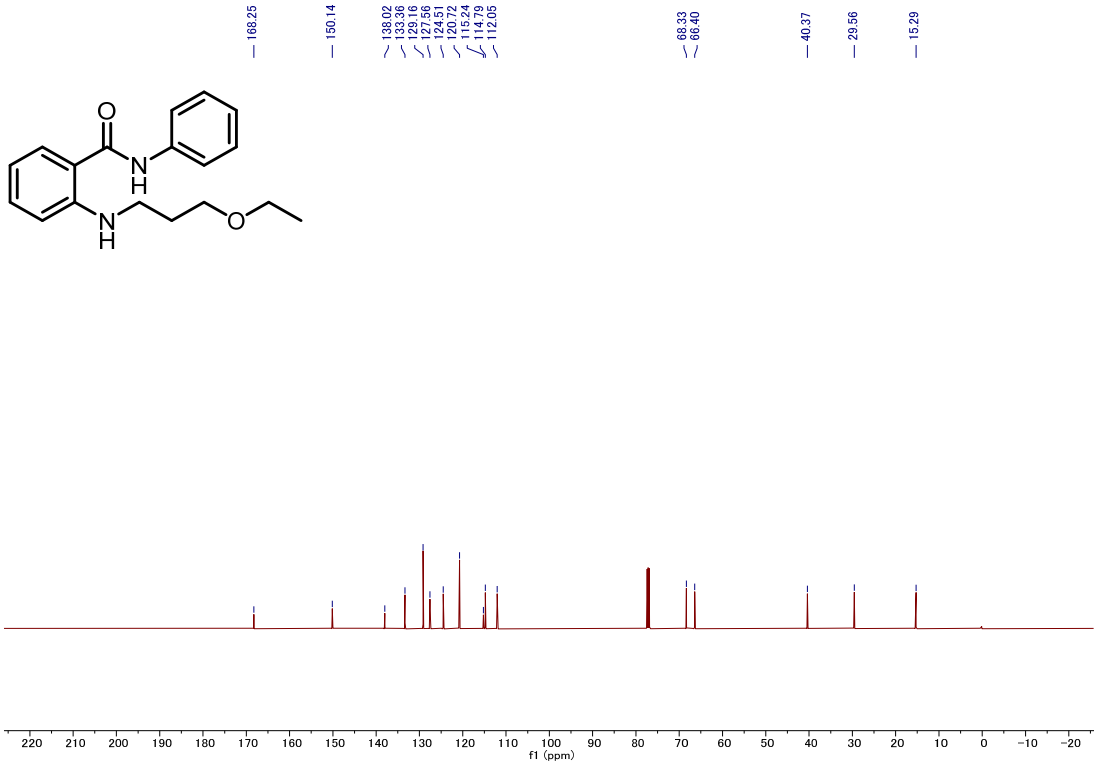
^{13}C NMR (**3b**)



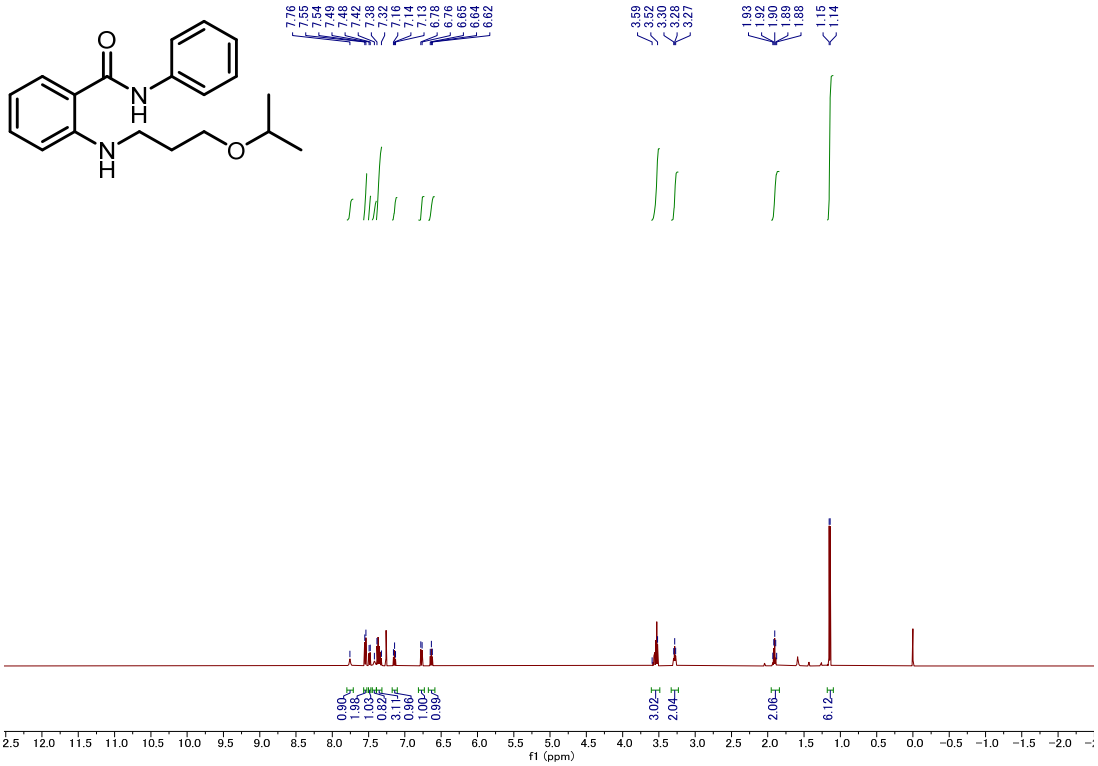
^{1}H NMR (**3c**)



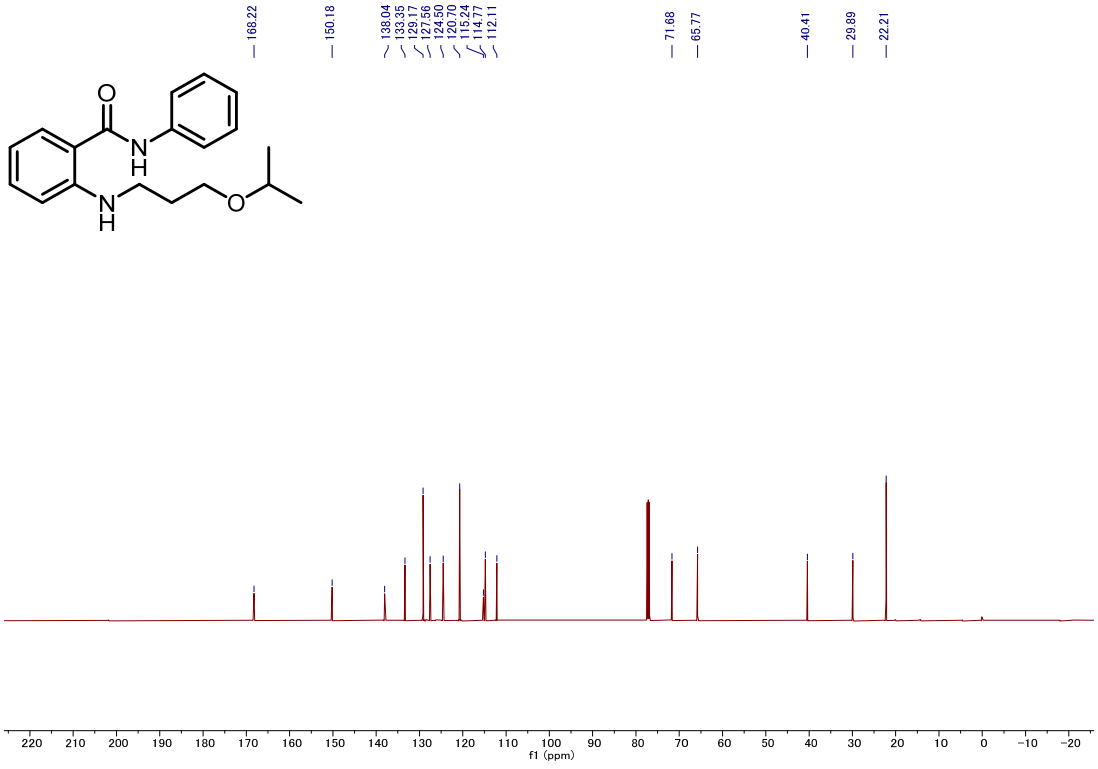
^{13}C NMR (**3c**)



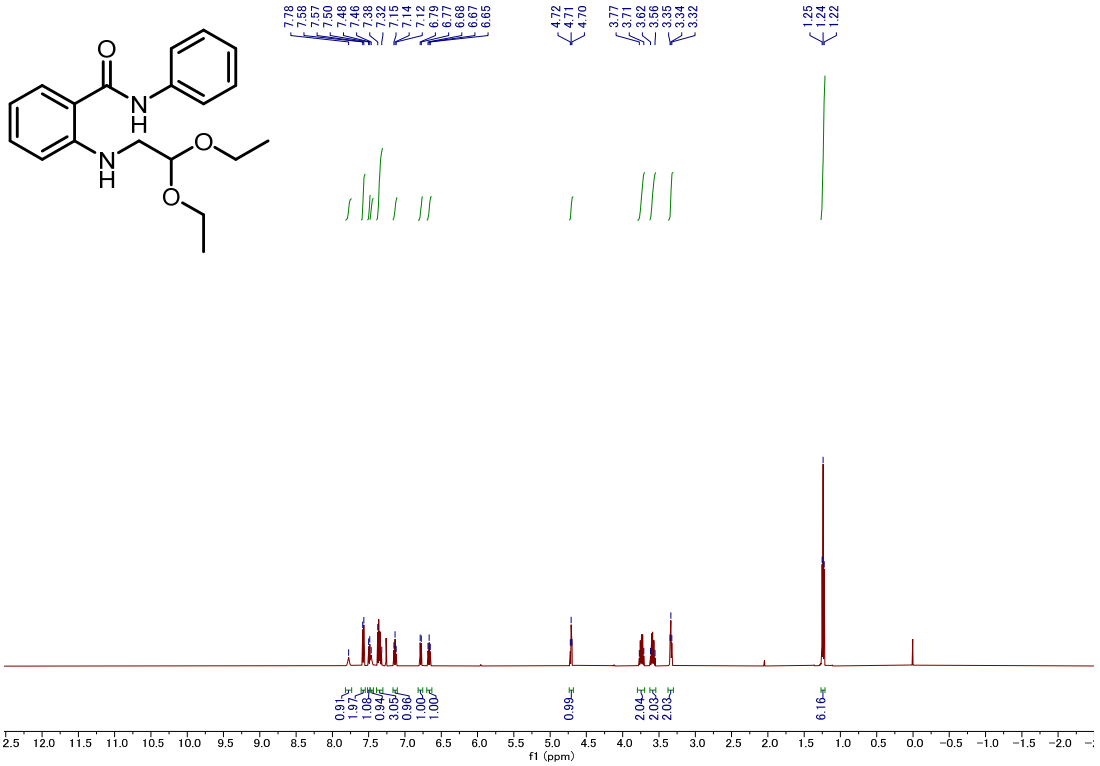
^{1}H NMR (**3d**)



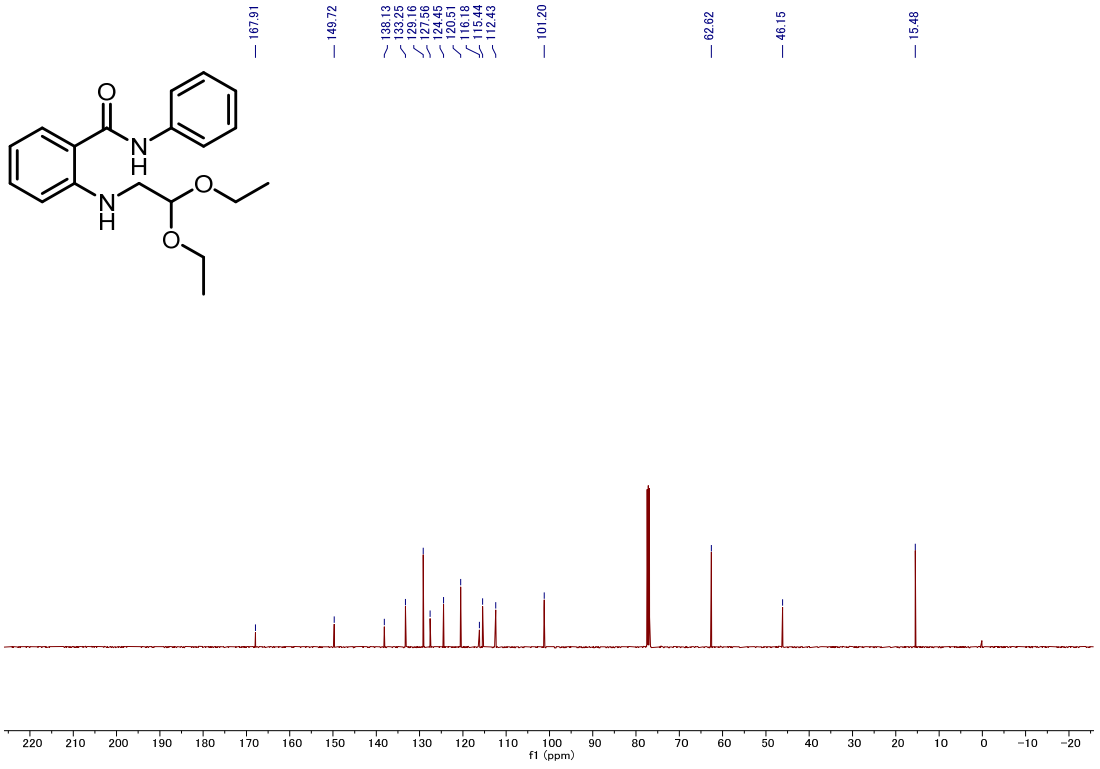
^{13}C NMR (**3d**)



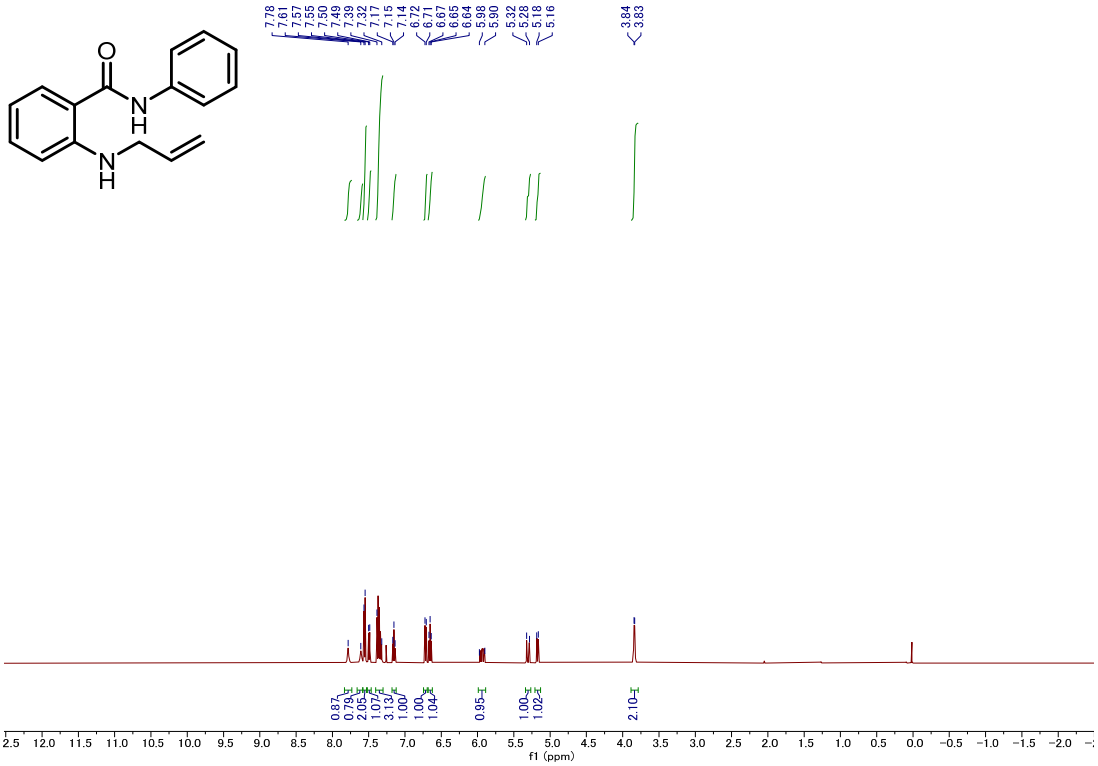
^{1}H NMR (**3e**)



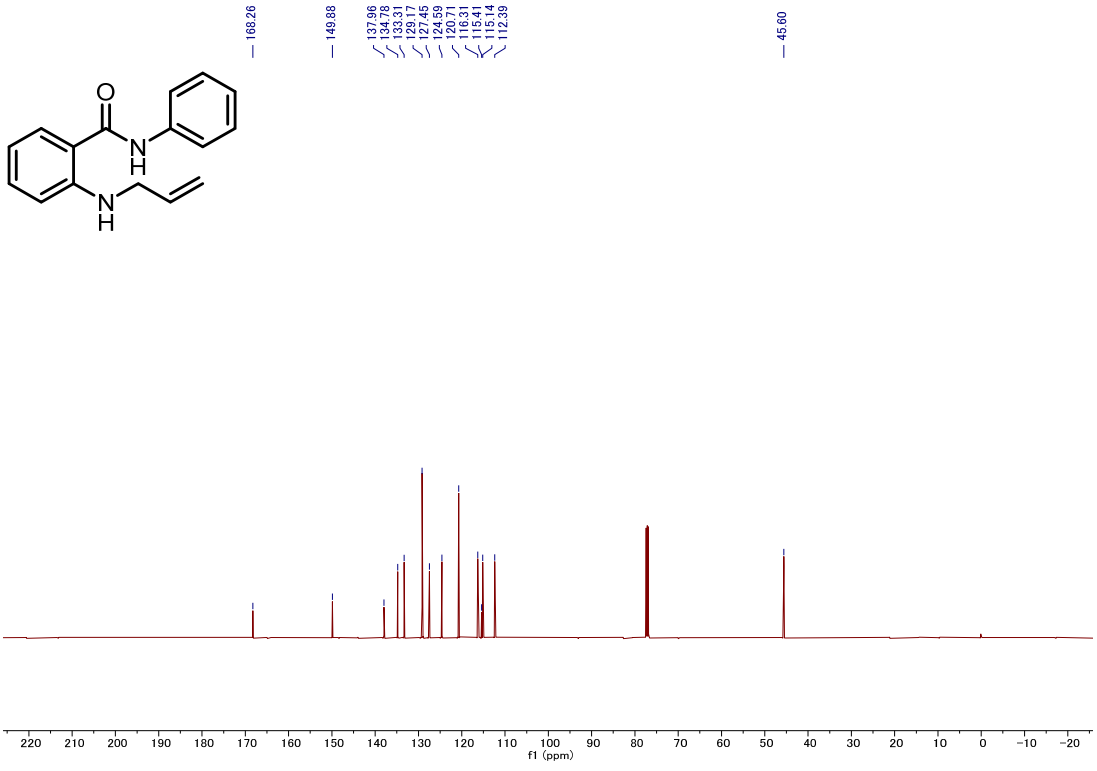
^{13}C NMR (**3e**)



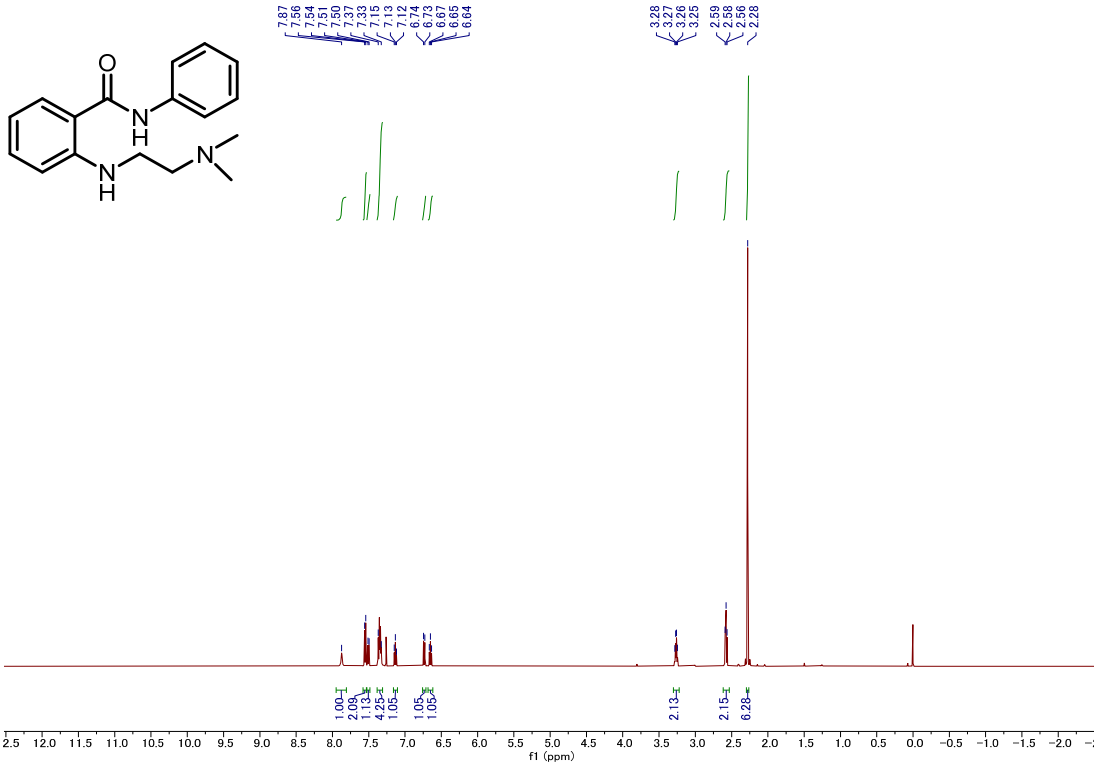
^{1}H NMR (**3f**)



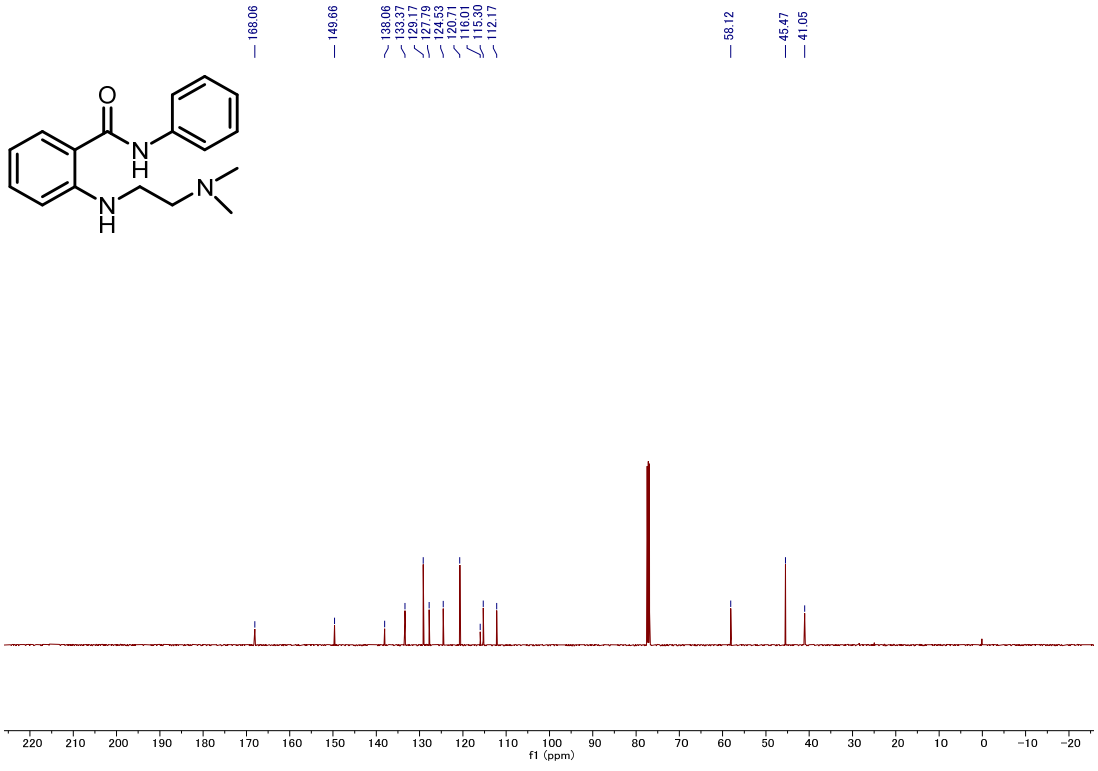
^{13}C NMR (3**f**)



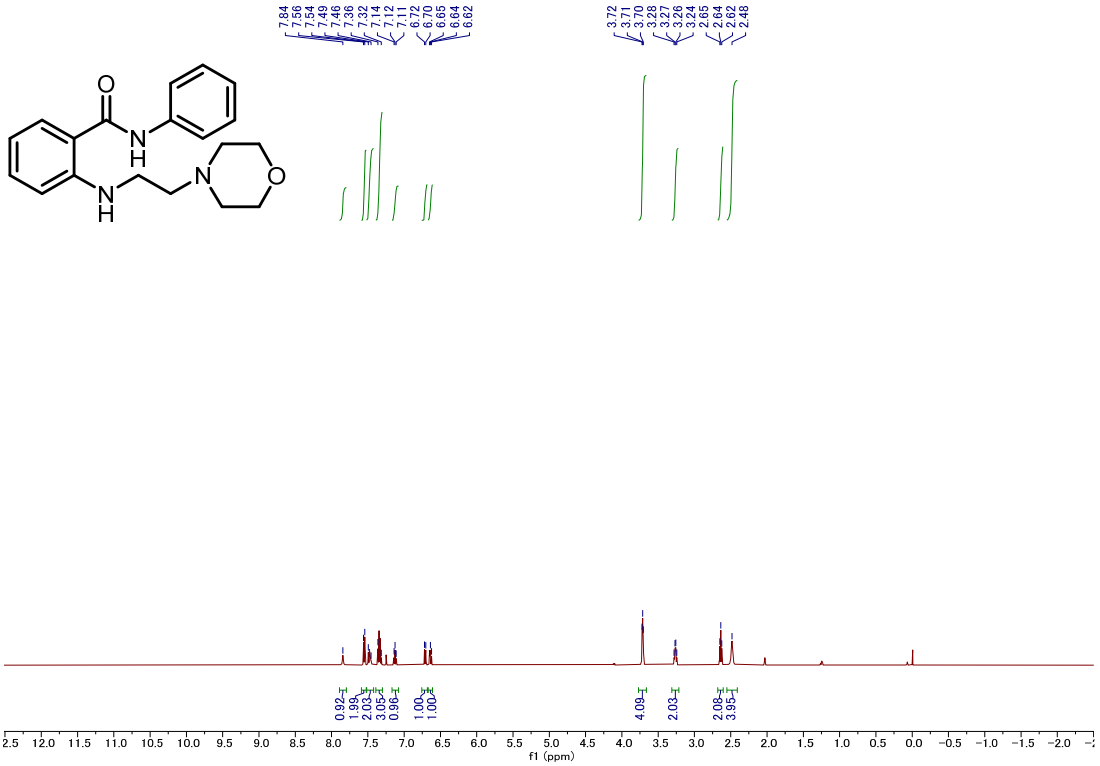
^{1}H NMR (**3g**)



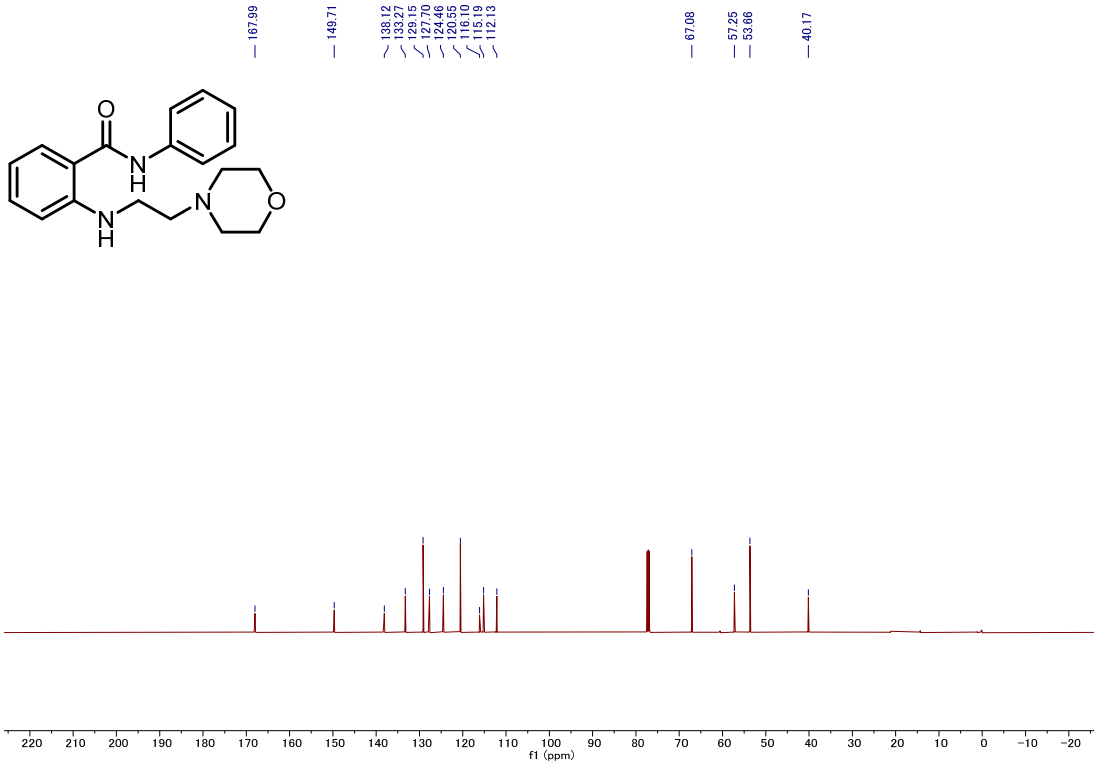
^{13}C NMR (**3g**)



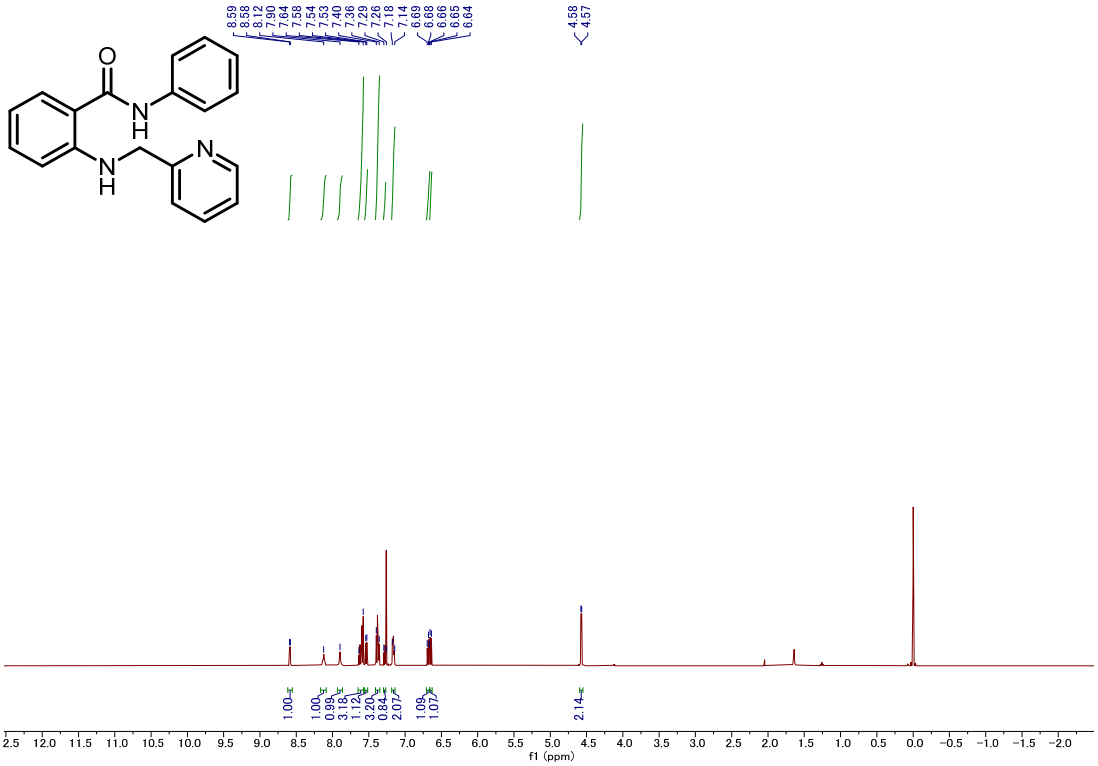
^{1}H NMR (**3h**)



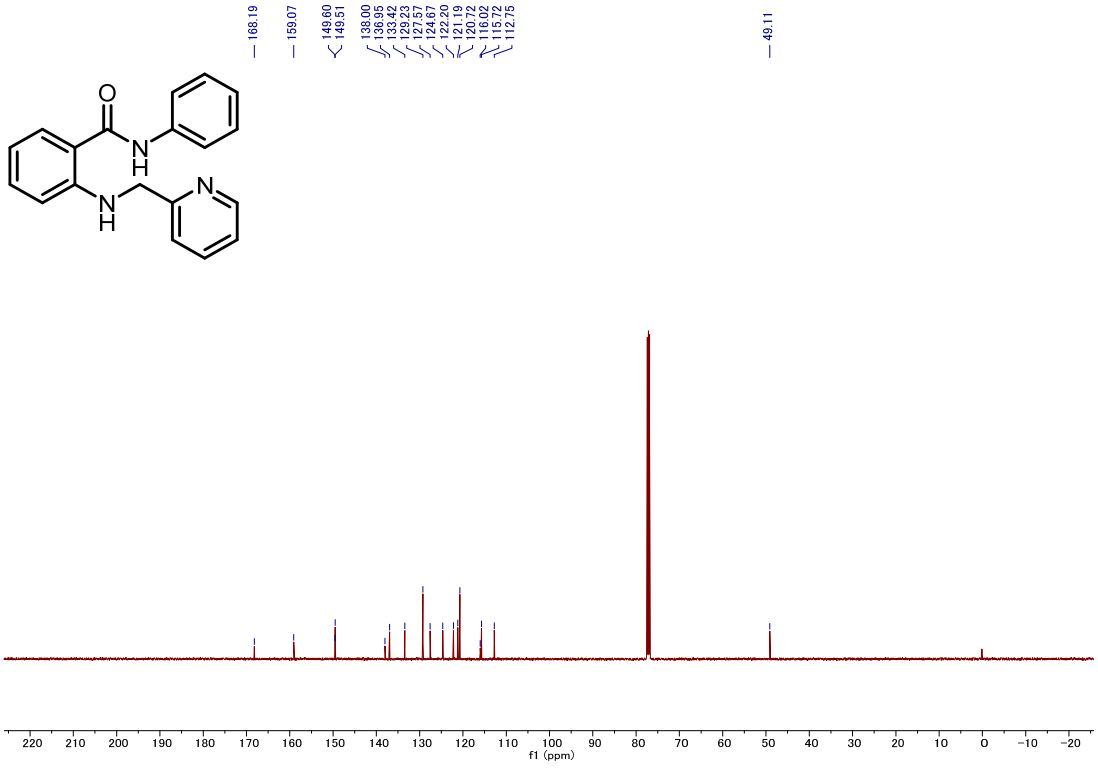
^{13}C NMR (**3h**)



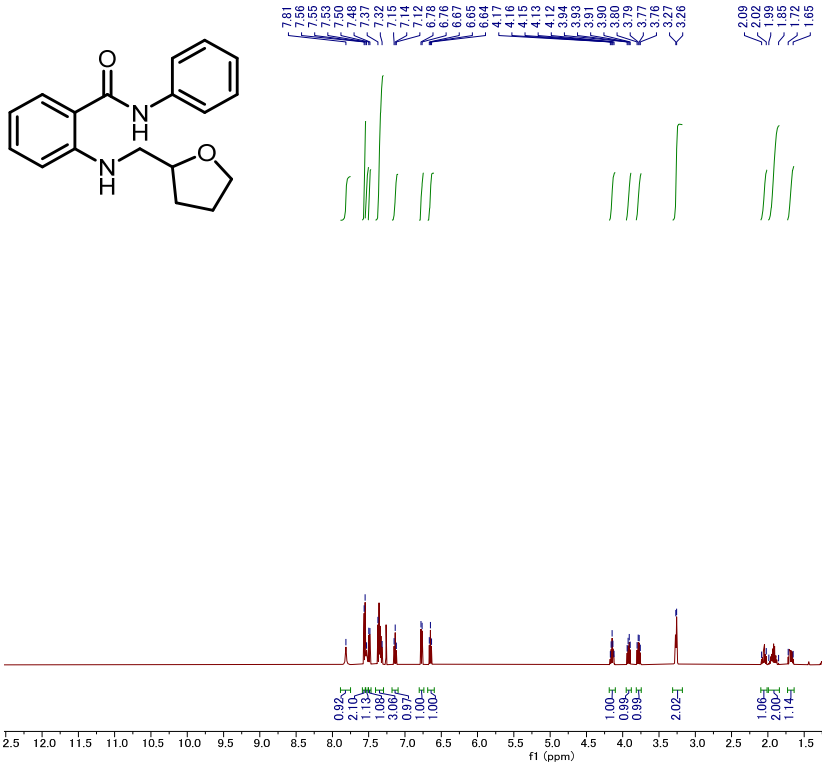
^{1}H NMR (**3i**)



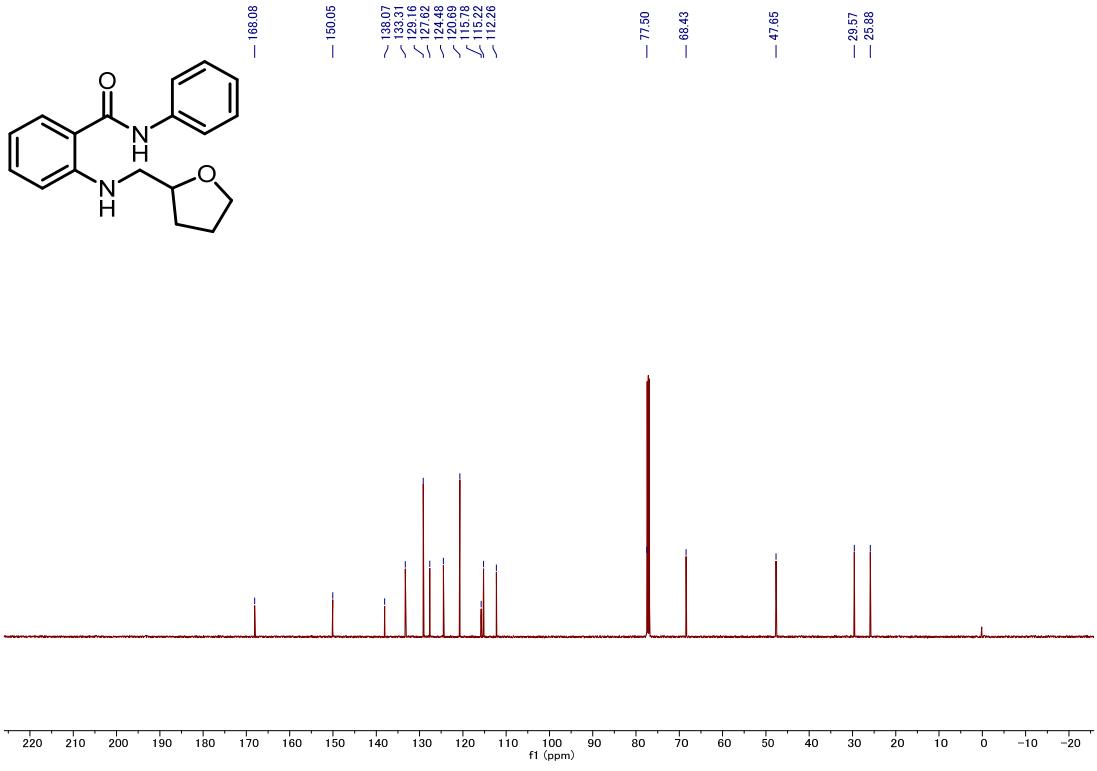
^{13}C NMR (**3i**)



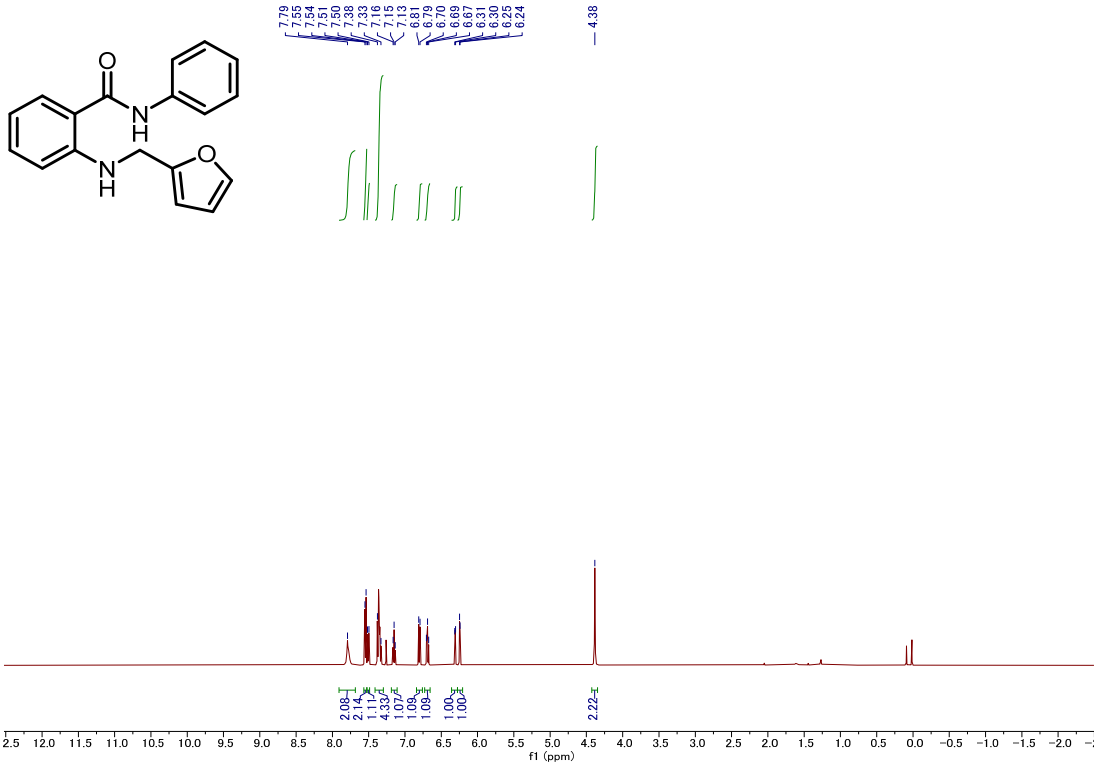
^{1}H NMR (**3j**)



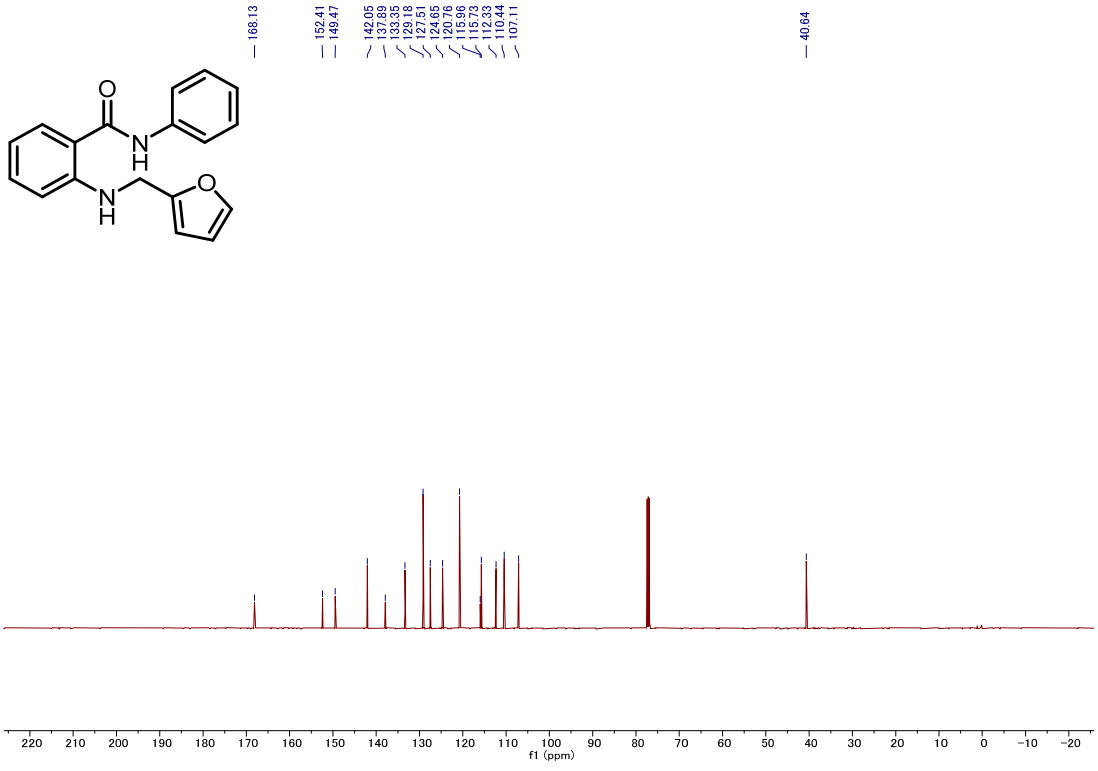
^{13}C NMR (**3j**)



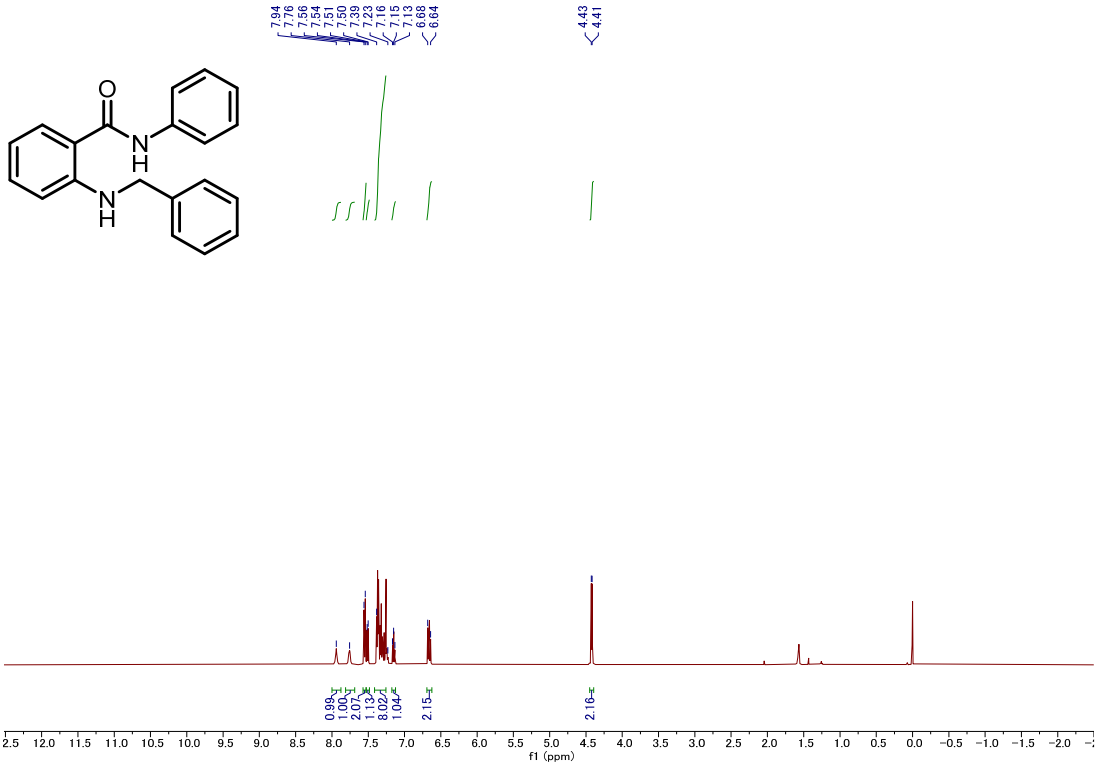
^{1}H NMR (**3k**)



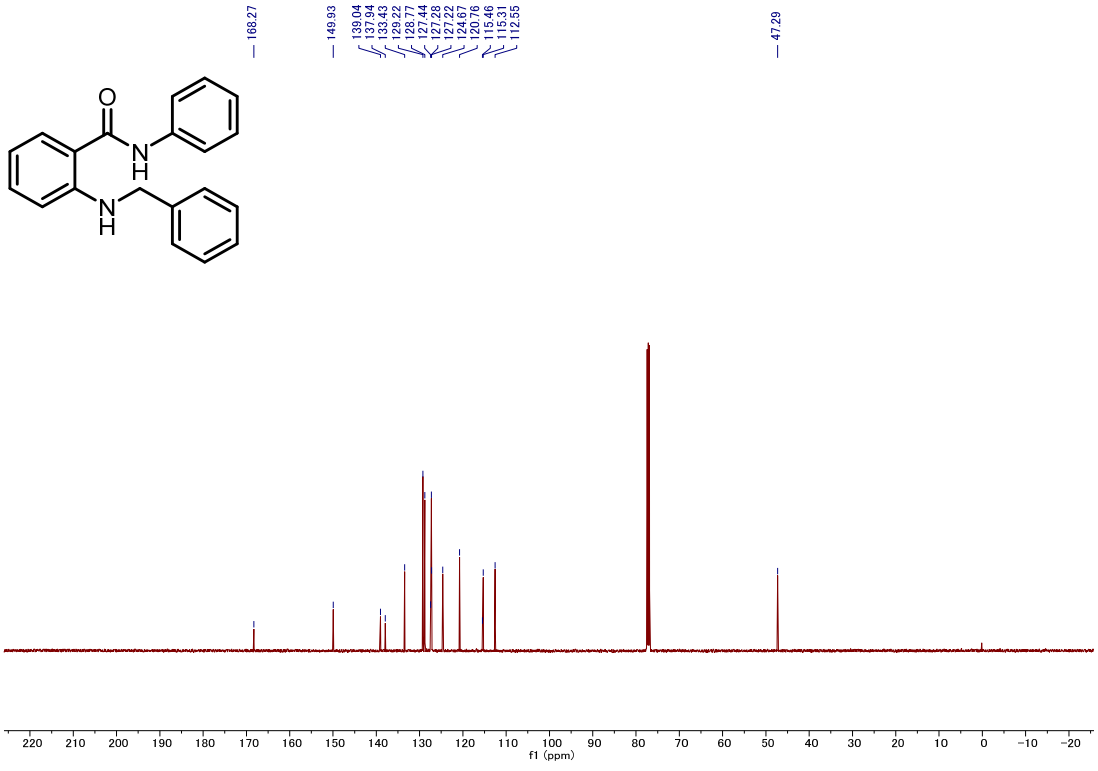
^{13}C NMR (**3k**)



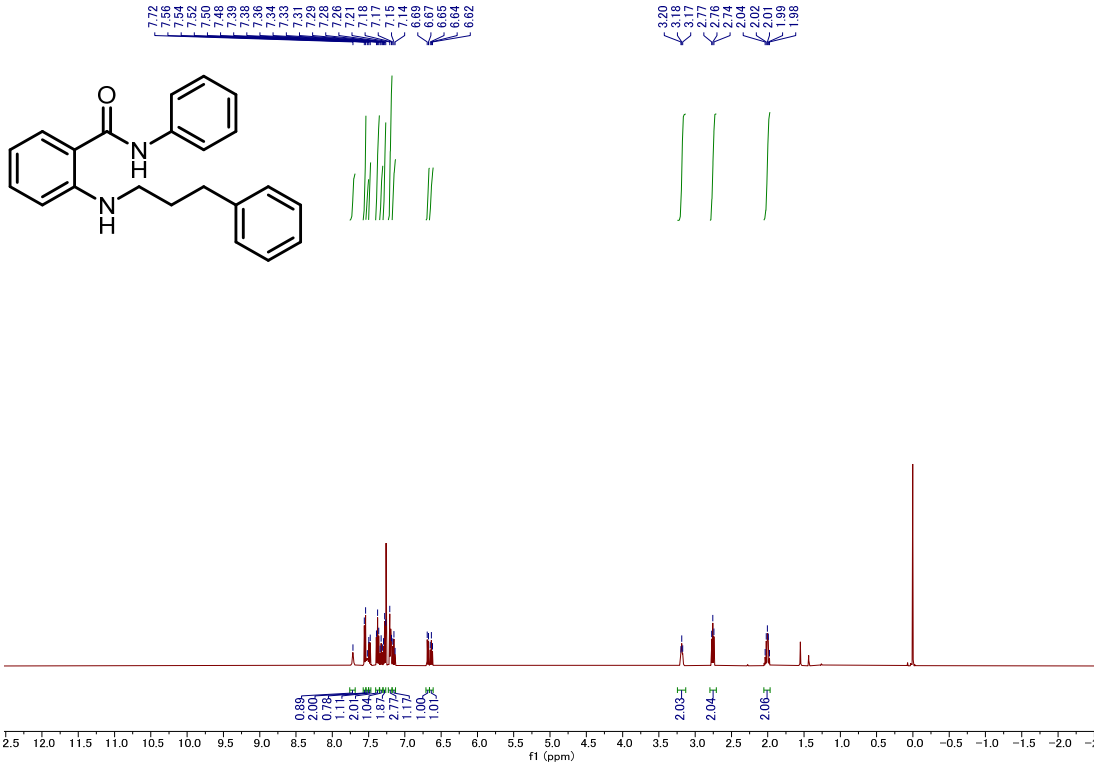
^{1}H NMR (**3l**)



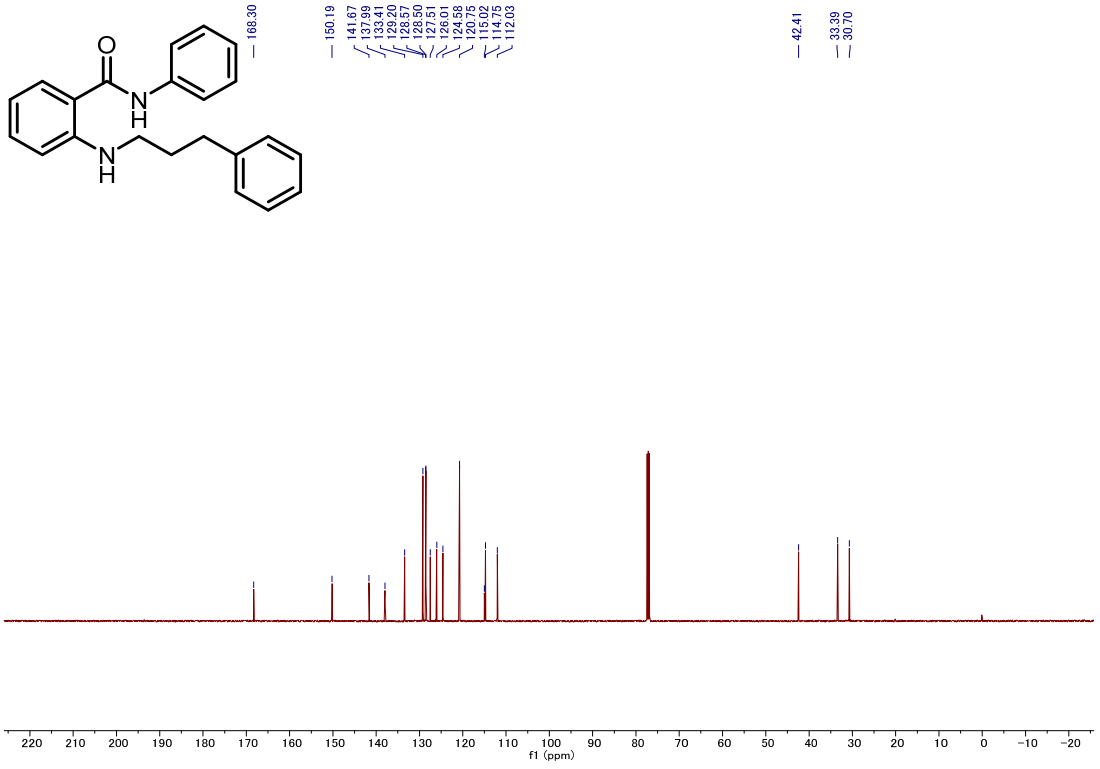
^{13}C NMR (**3l**)



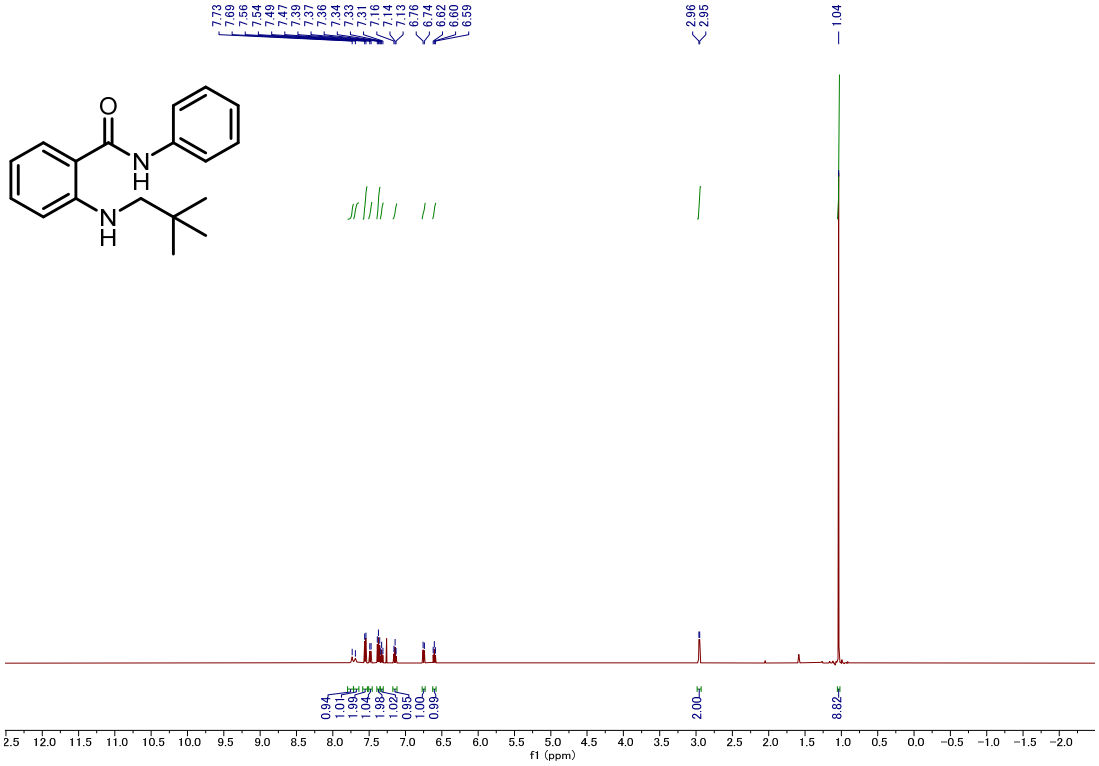
^{1}H NMR (**3m**)



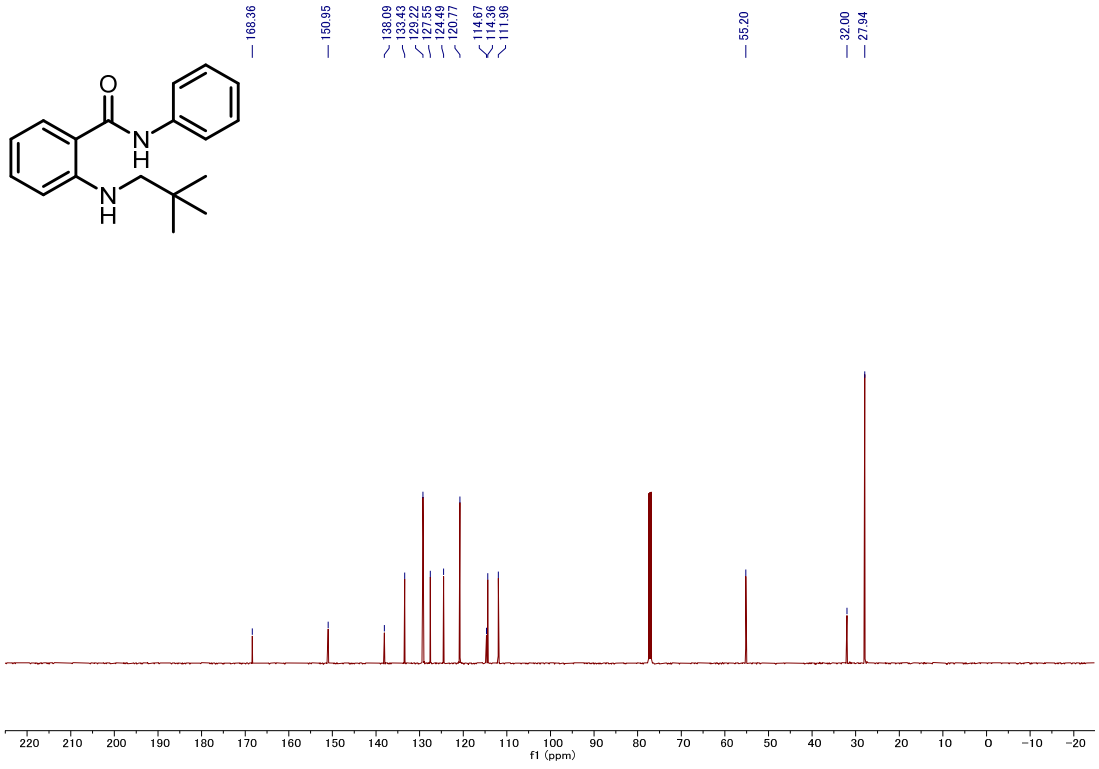
^{13}C NMR (**3m**)



^{1}H NMR (**3n**)



^{13}C NMR (**3n**)



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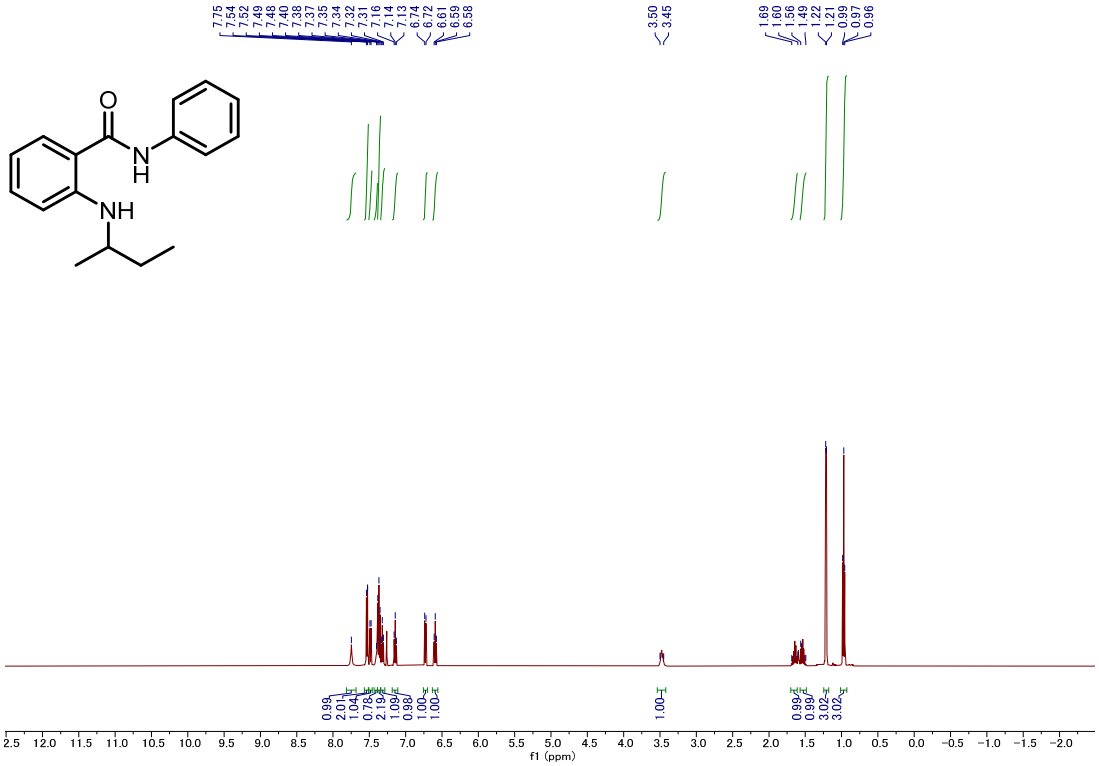
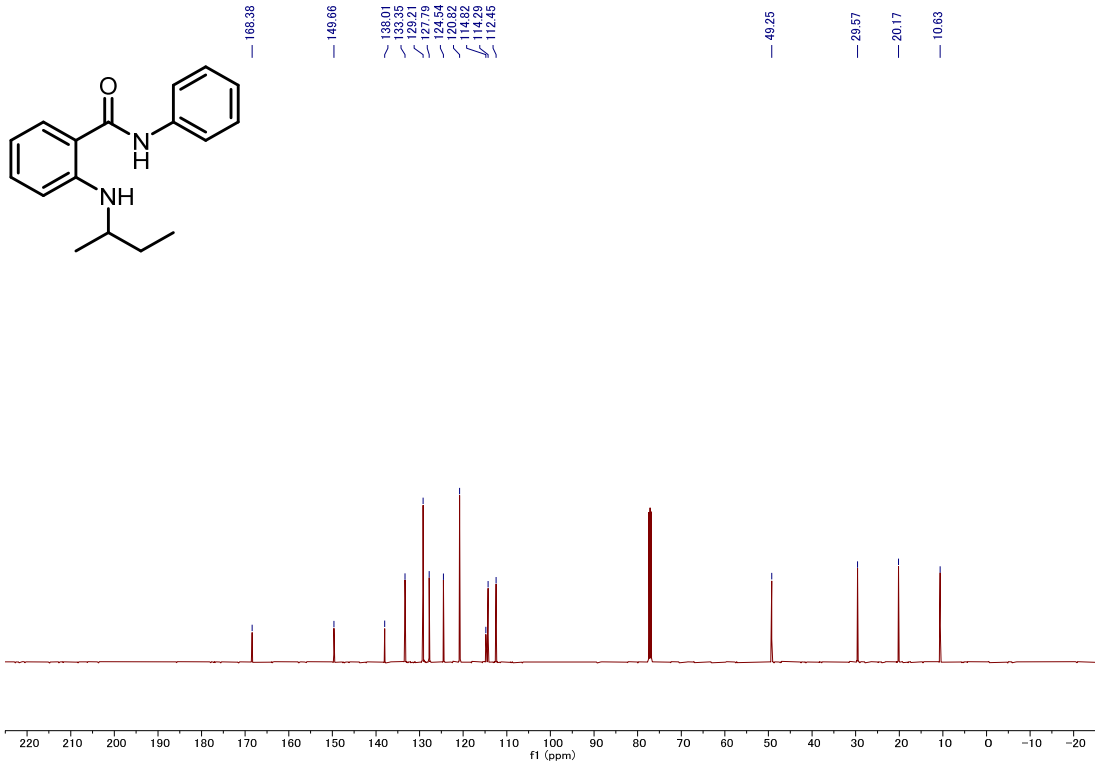
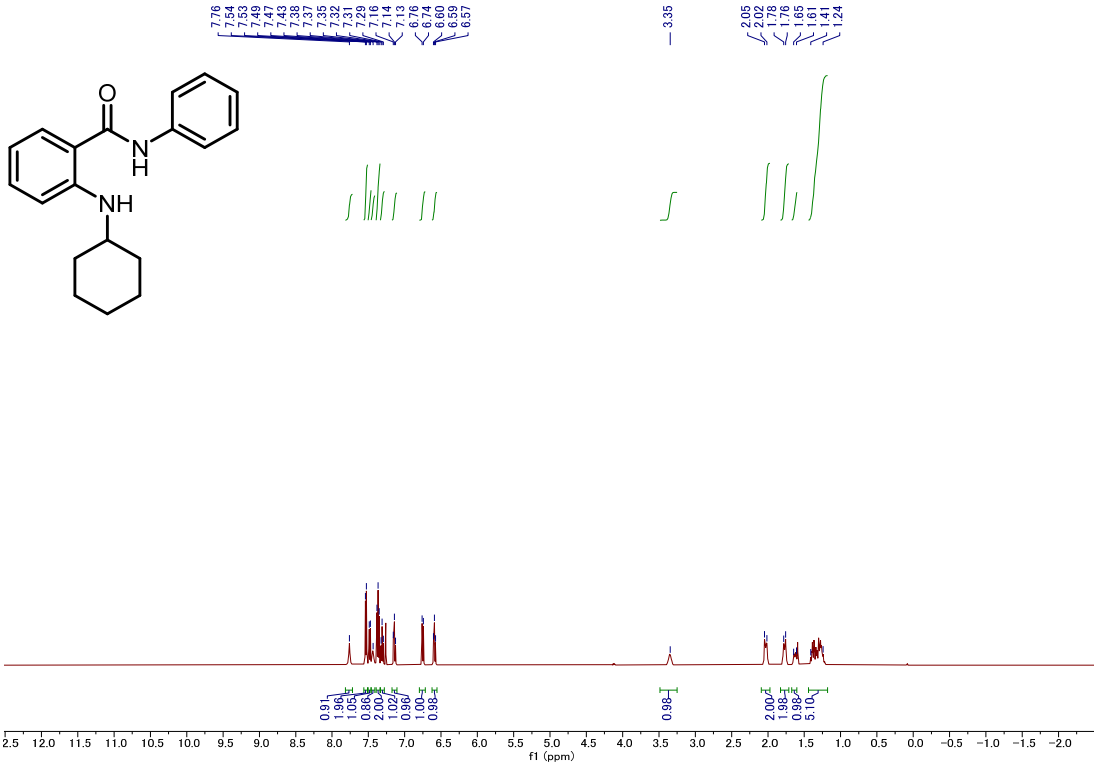


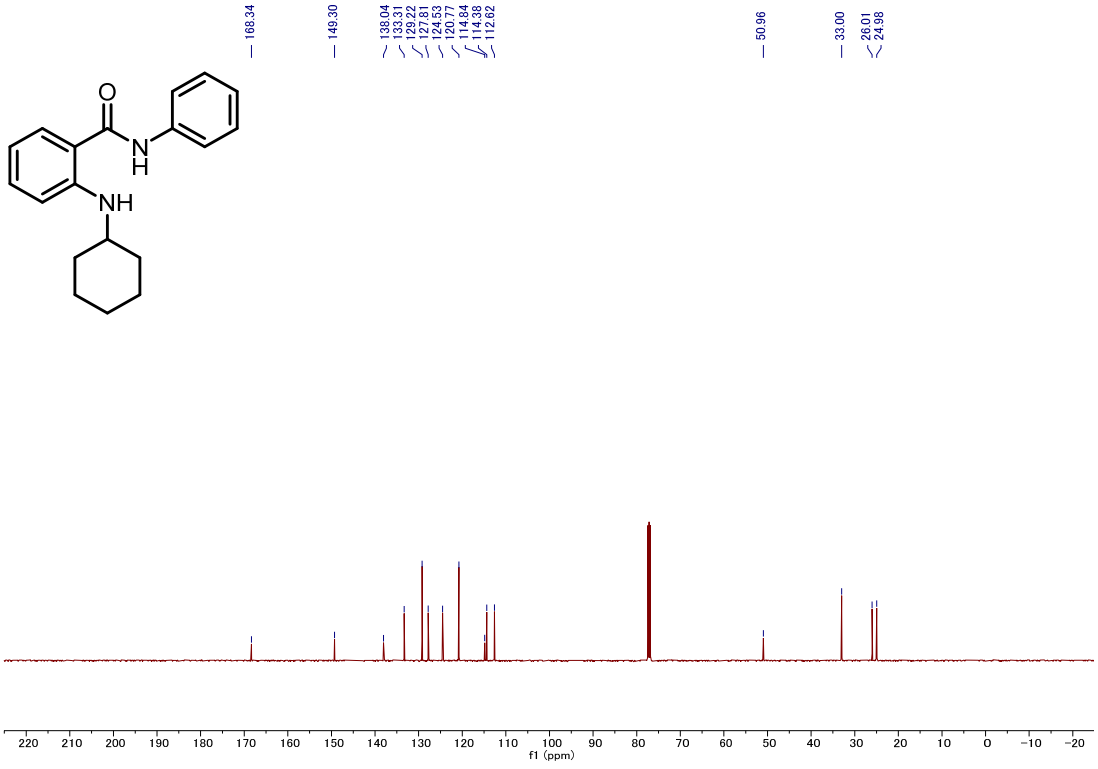
^{13}C NMR (**3o**)



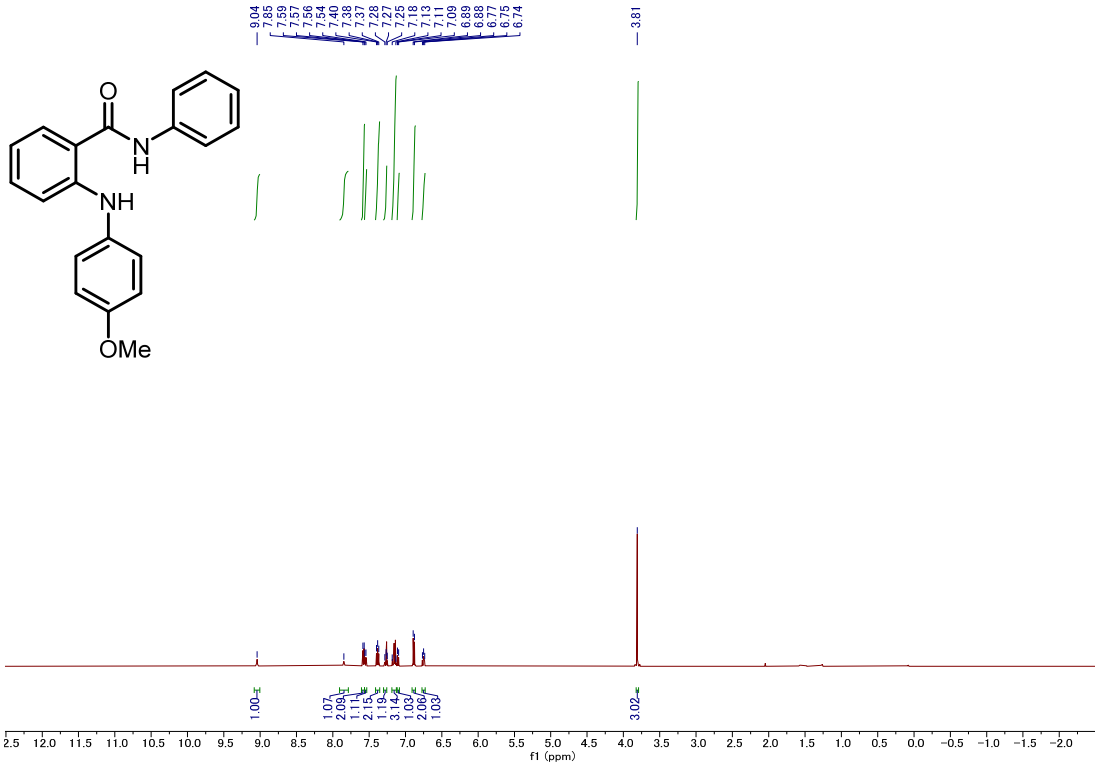
^{1}H NMR (**3p**)



^{13}C NMR (**3p**)



^{1}H NMR (**3q**)



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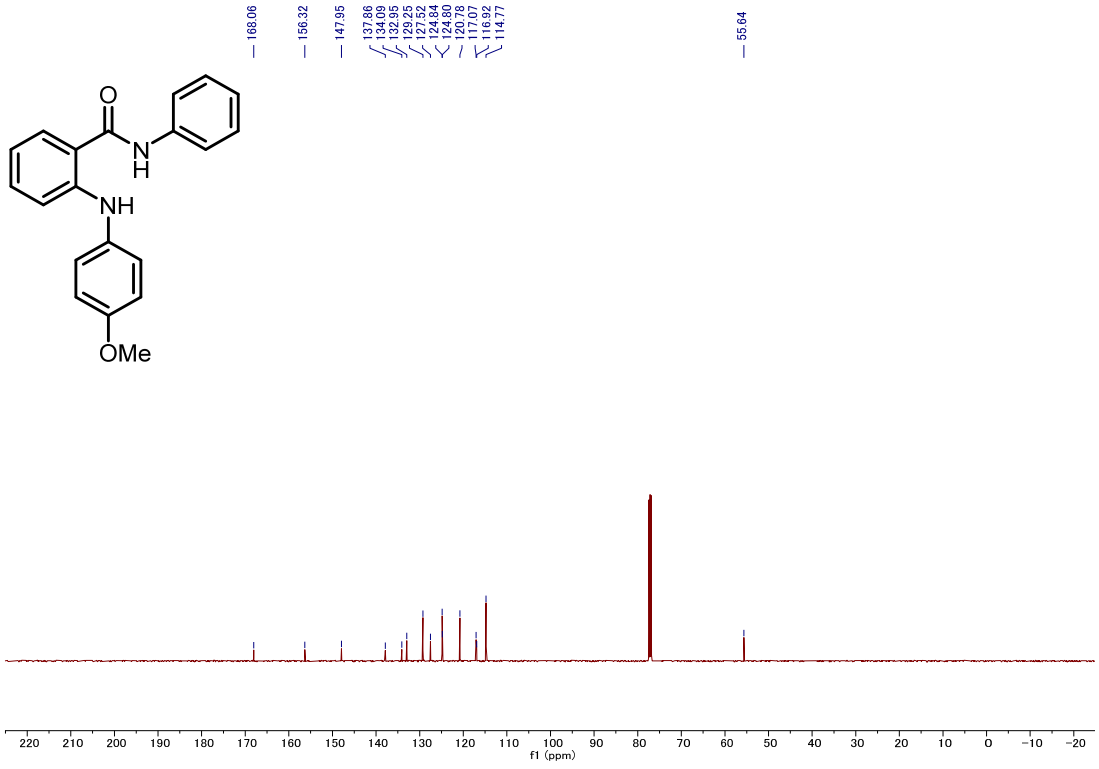
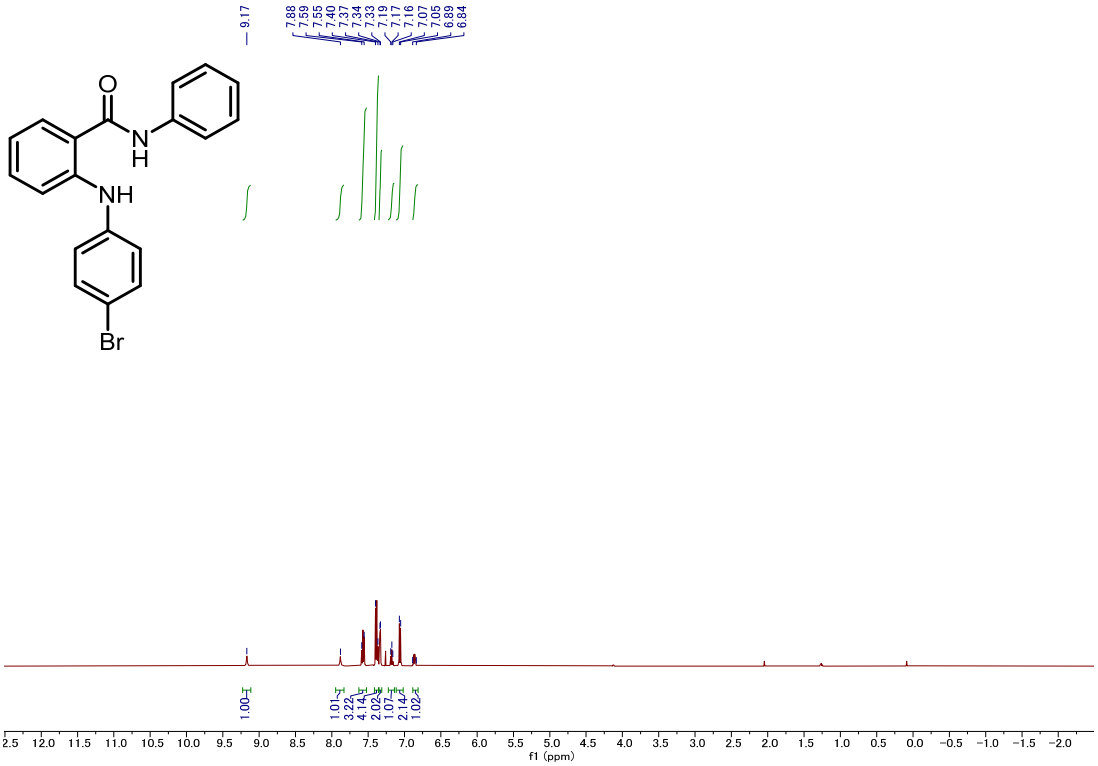
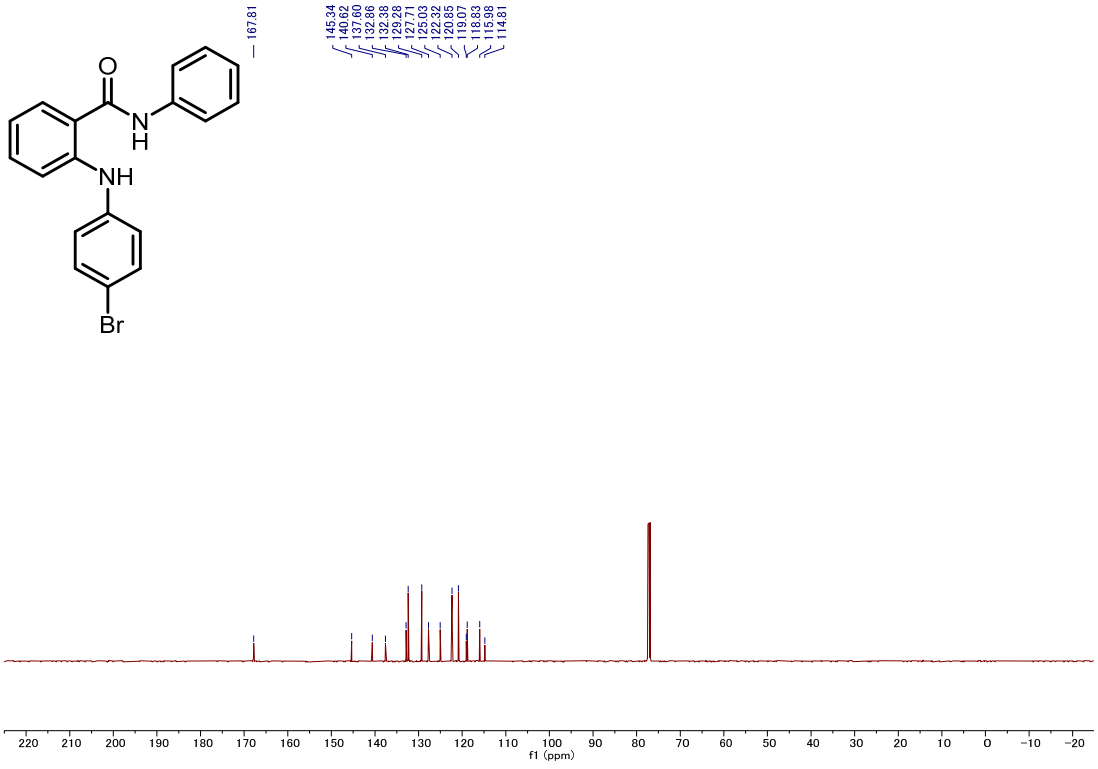


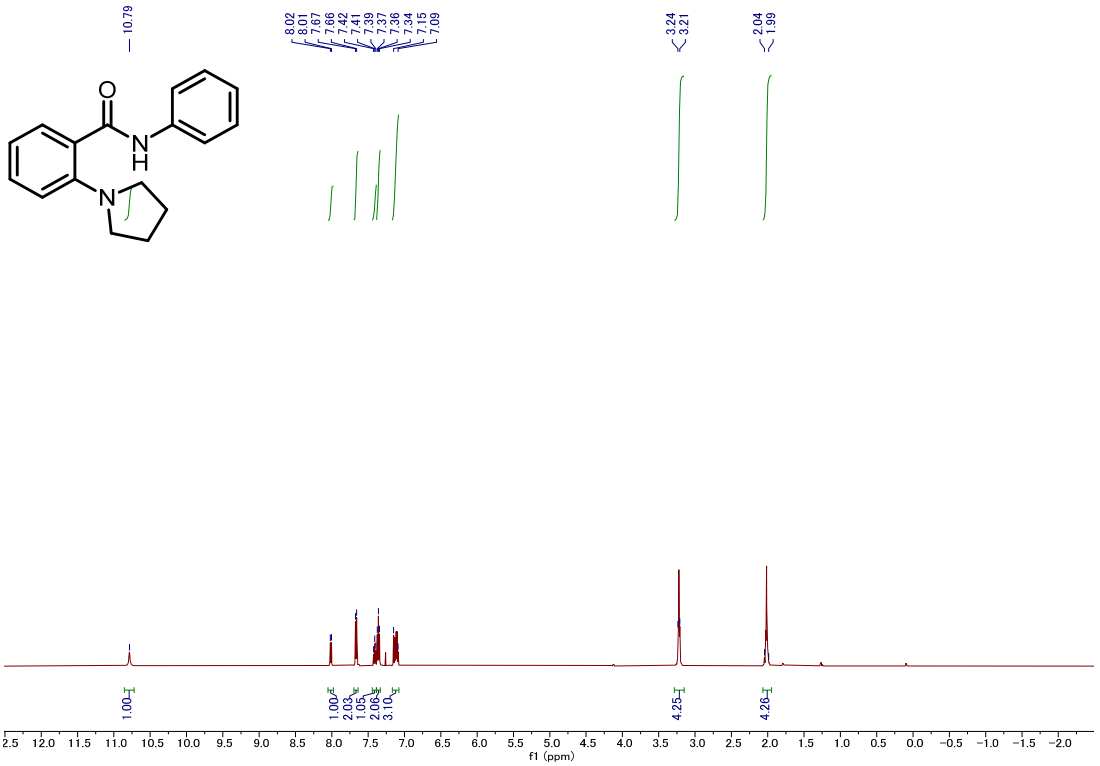
^{1}H NMR (**3r**)



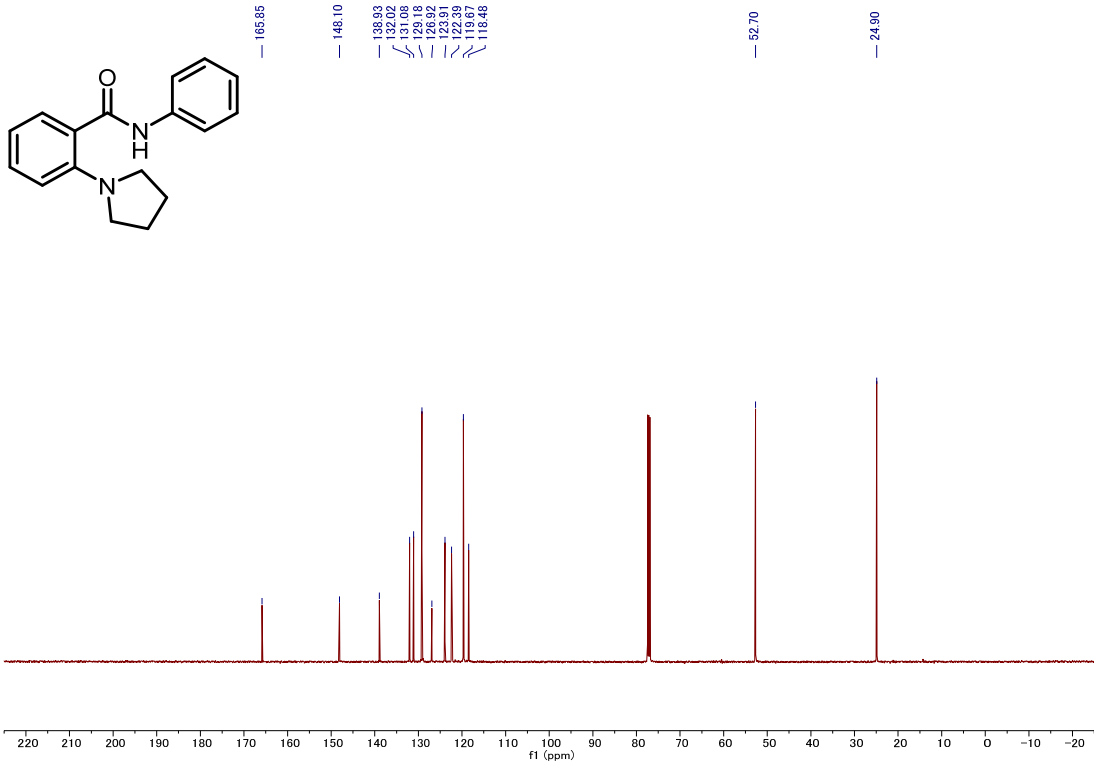
^{13}C NMR (**3r**)



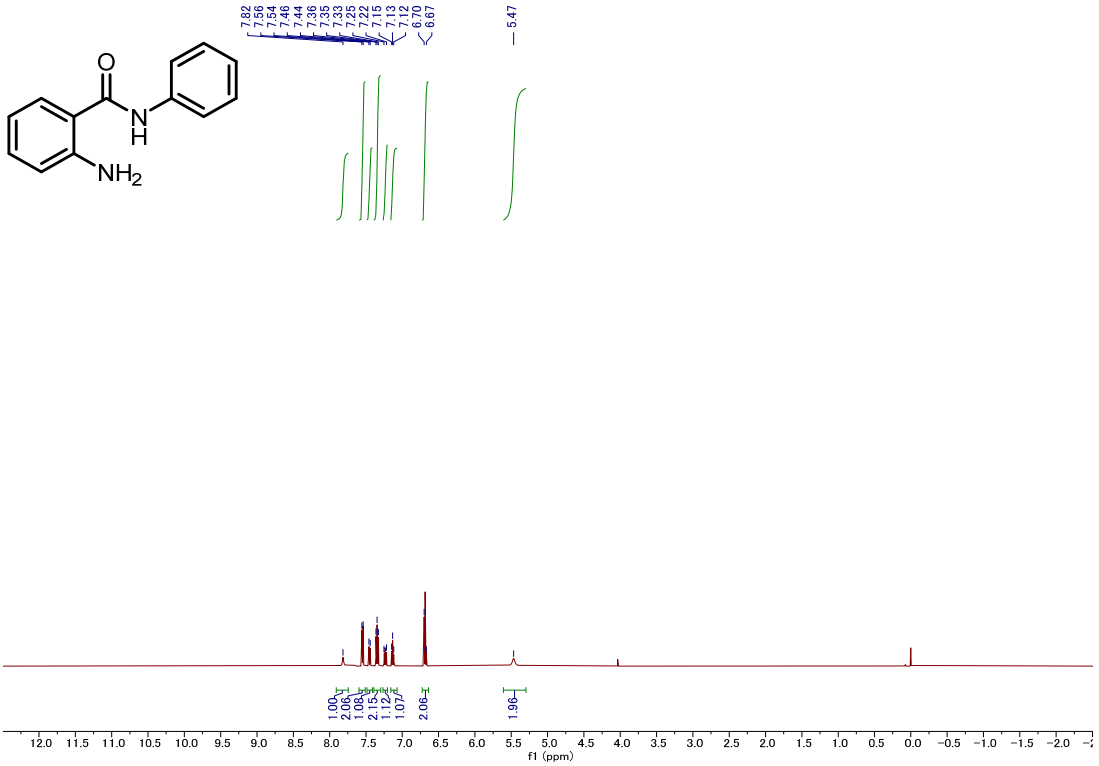
^{1}H NMR (**3s**)



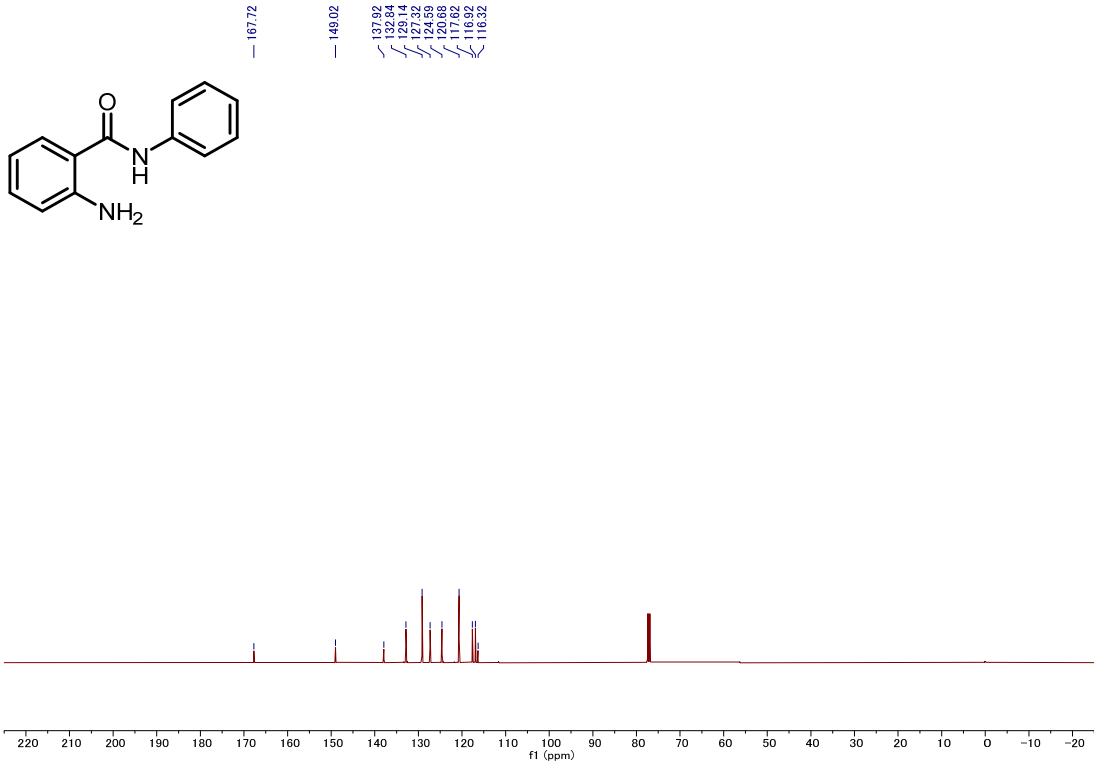
^{13}C NMR (**3s**)



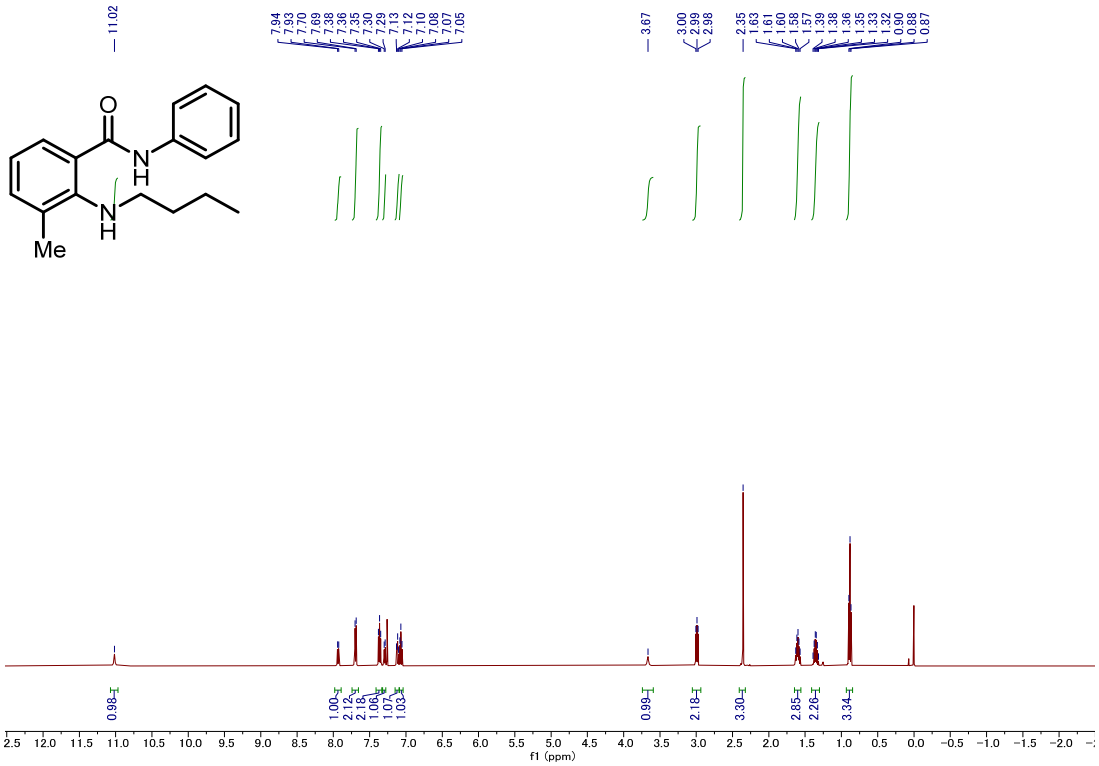
^{1}H NMR (**3t**)



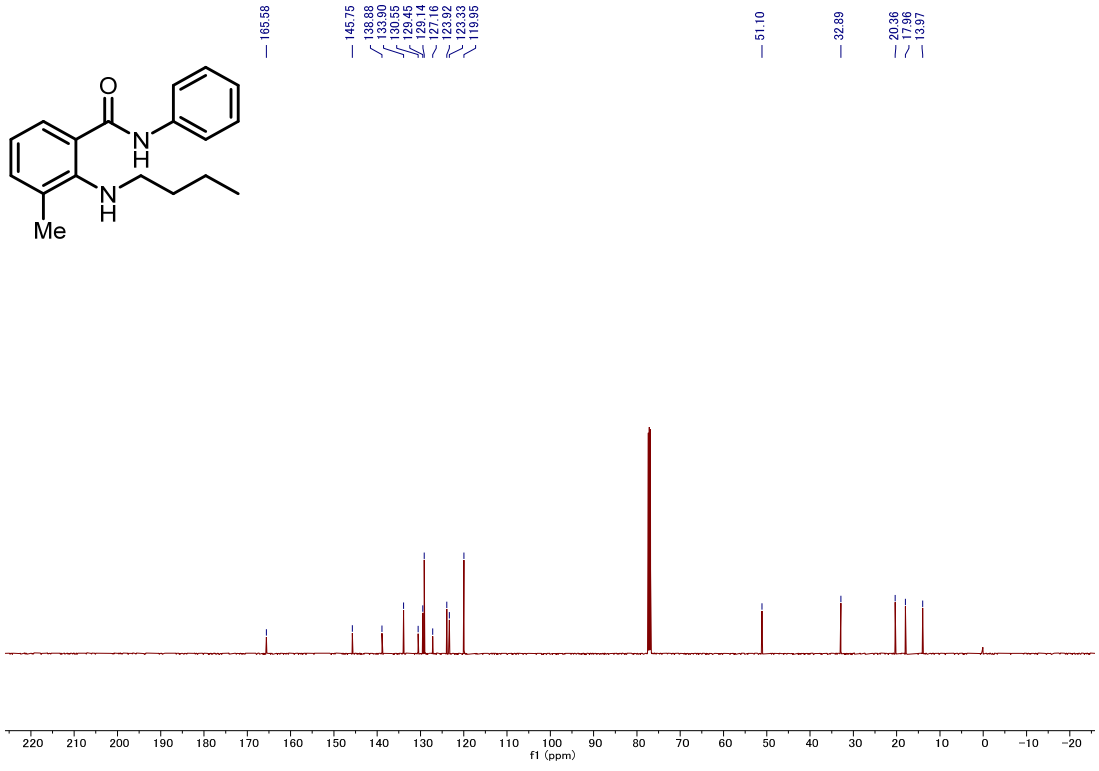
^{13}C NMR (**3t**)



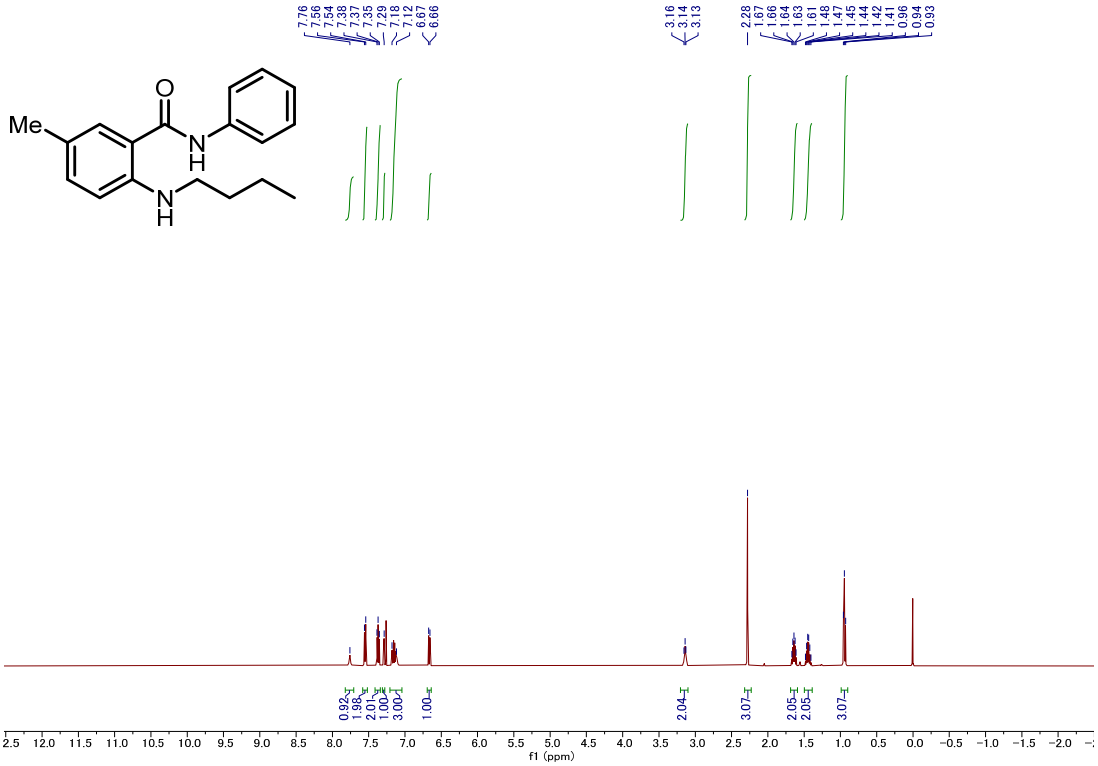
^{1}H NMR (**4b**)



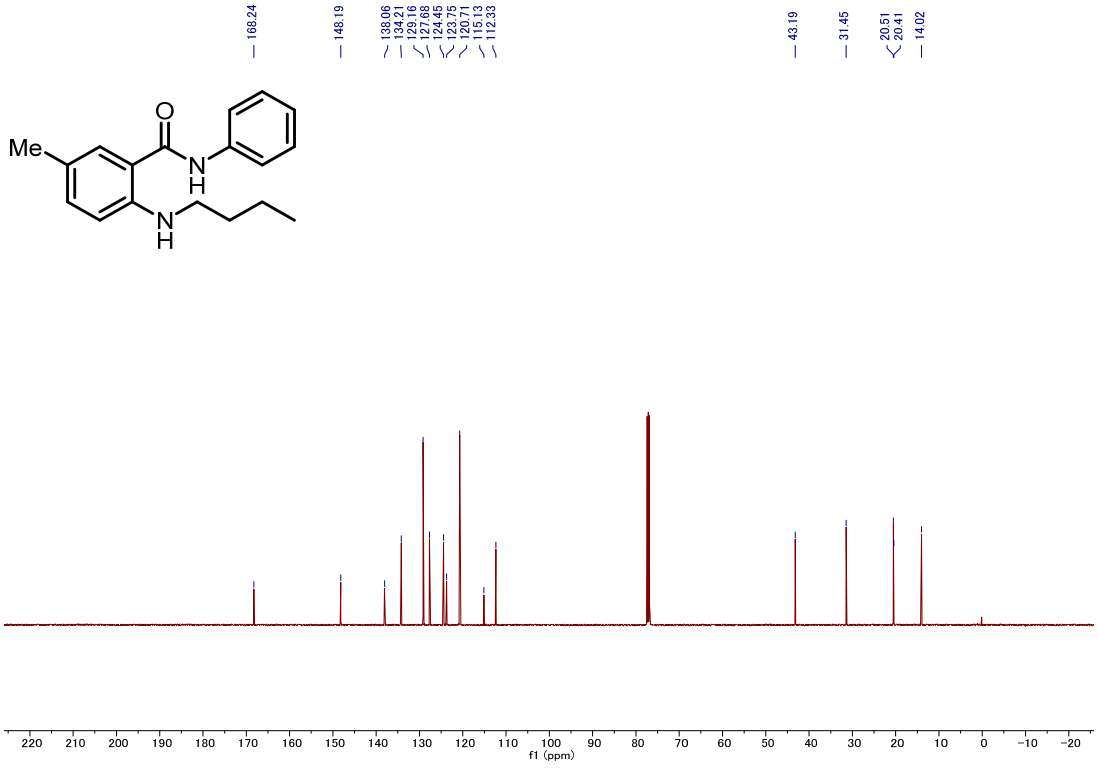
^{13}C NMR (**4b**)



^{1}H NMR (**4c**)



^{13}C NMR (**4c**)



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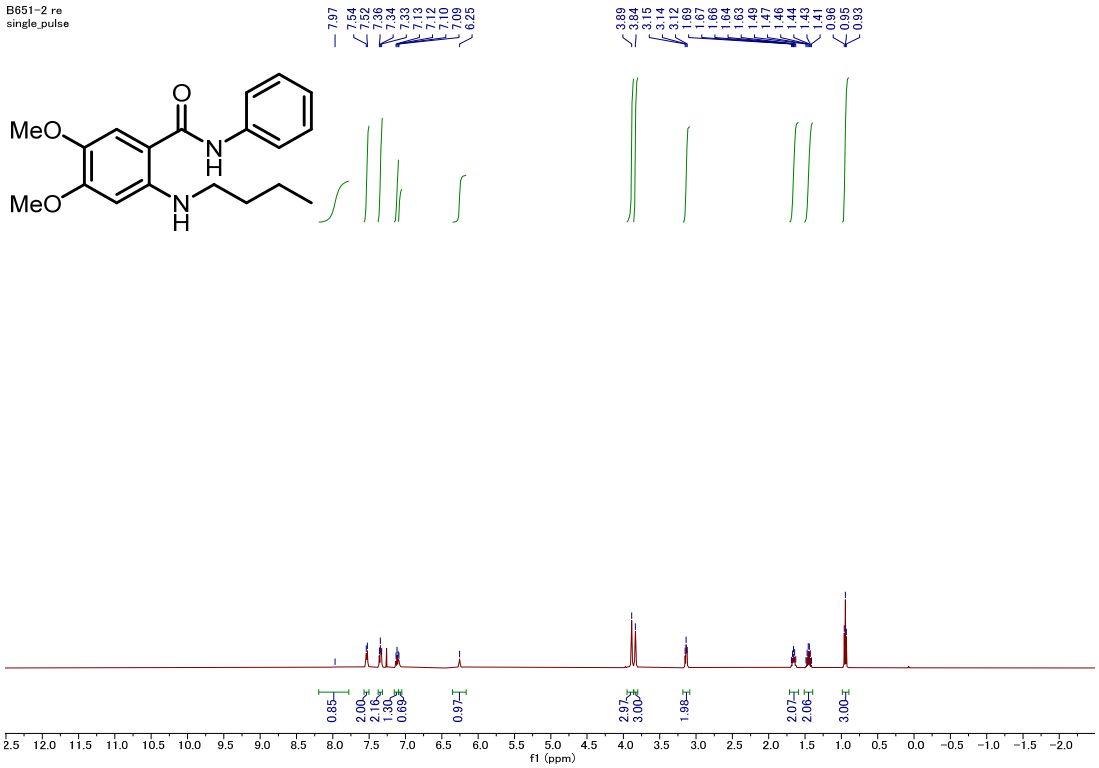

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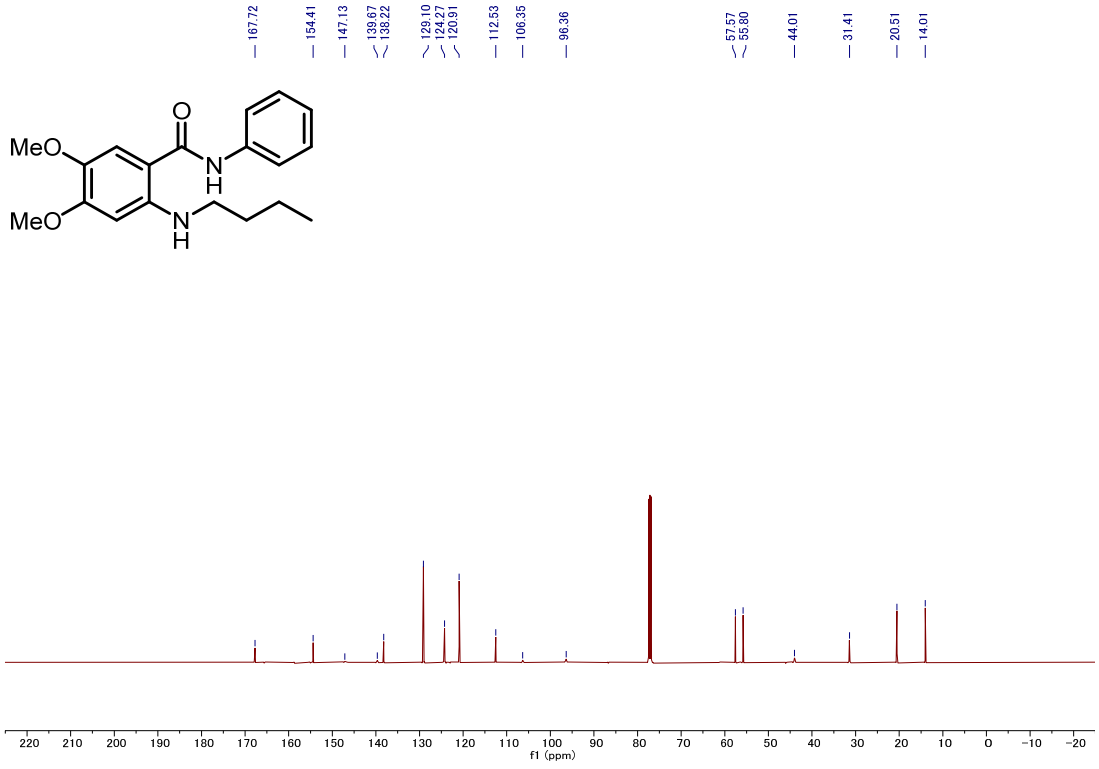

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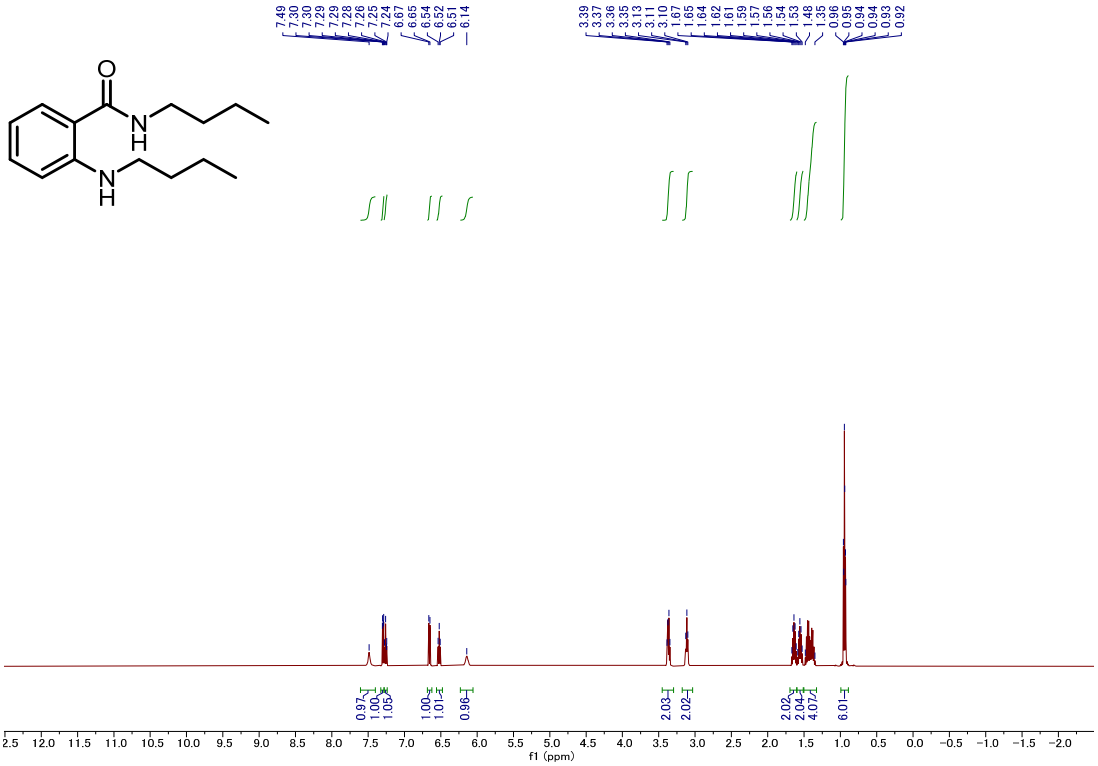

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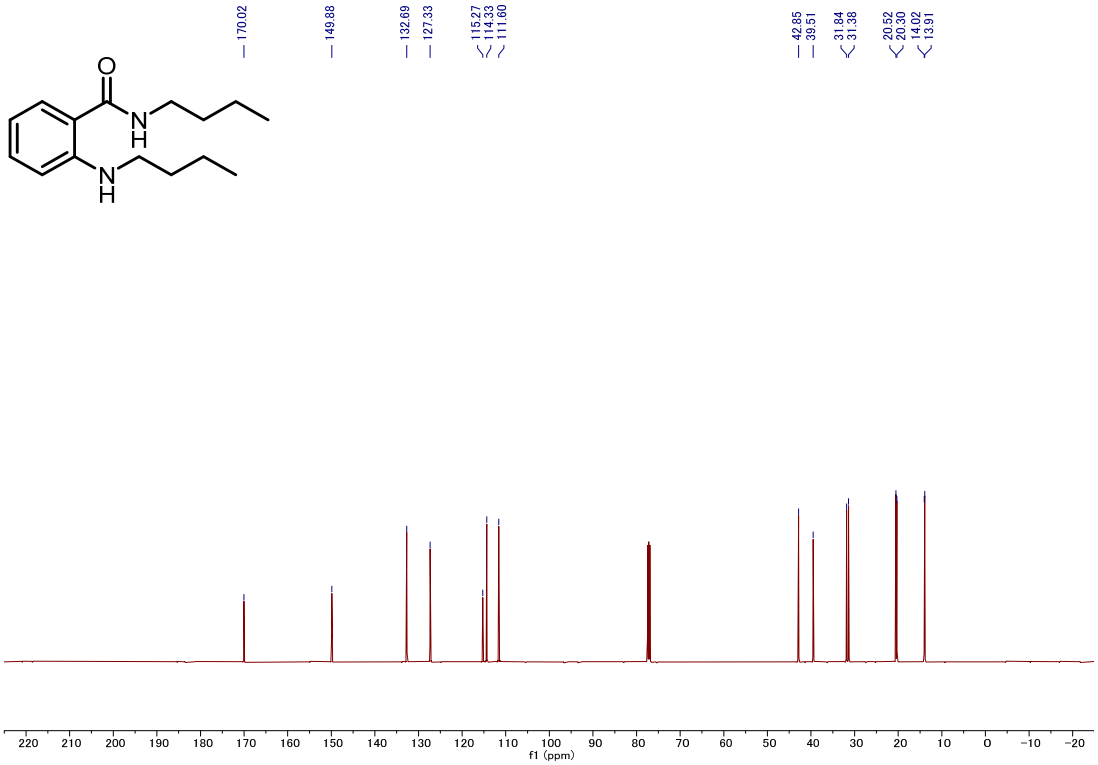

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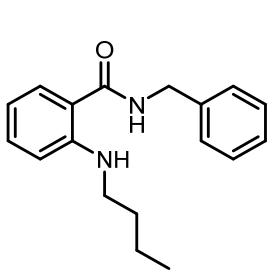

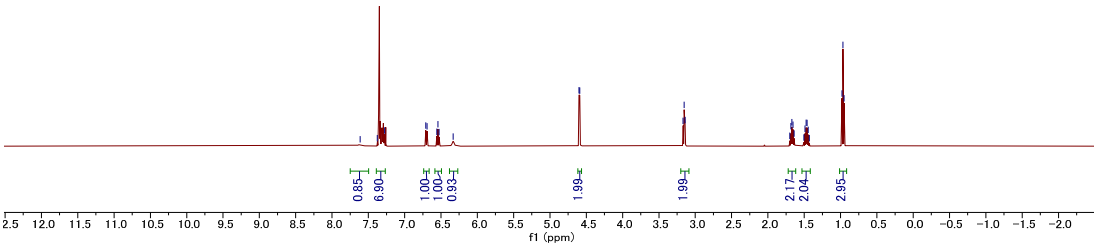

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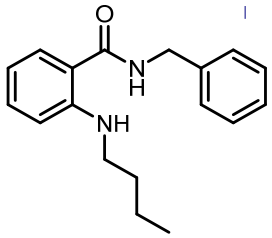

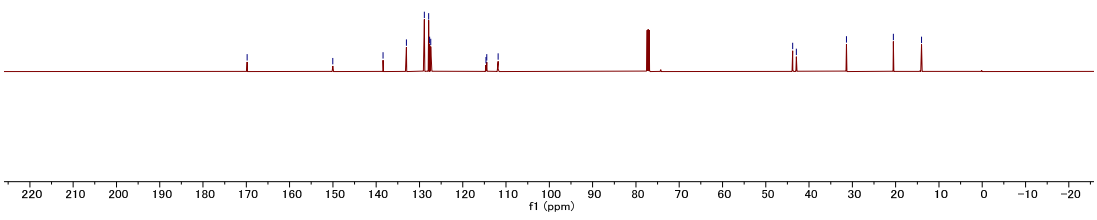

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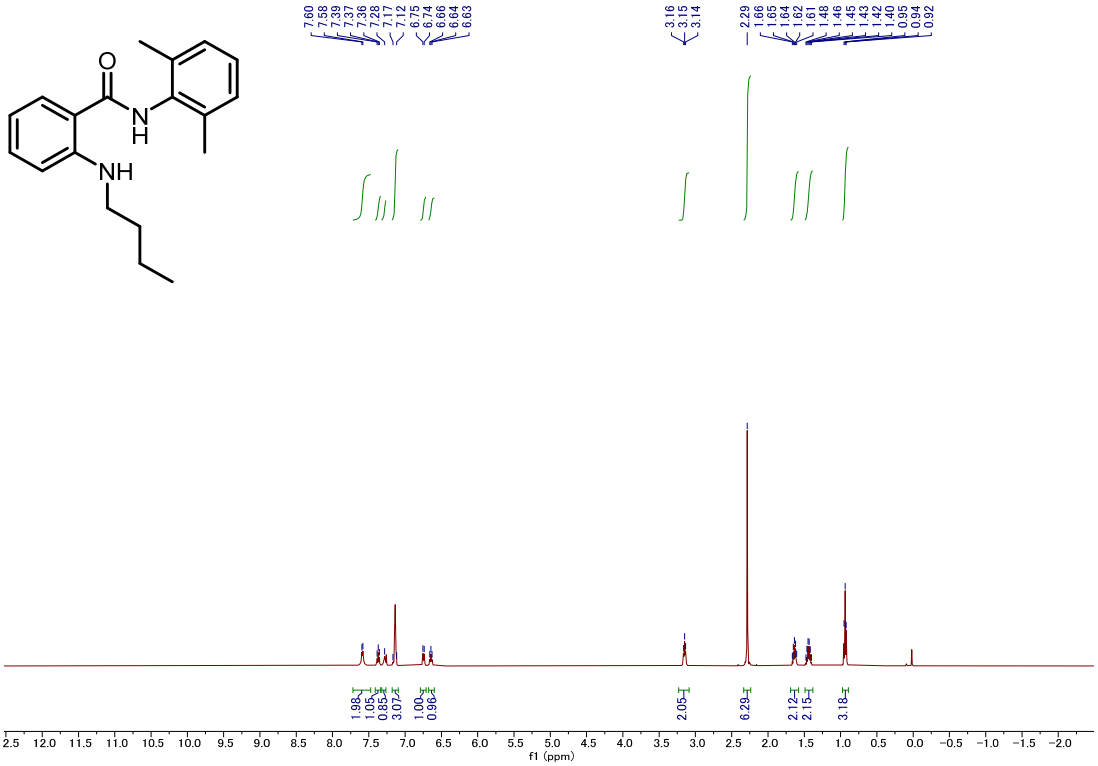

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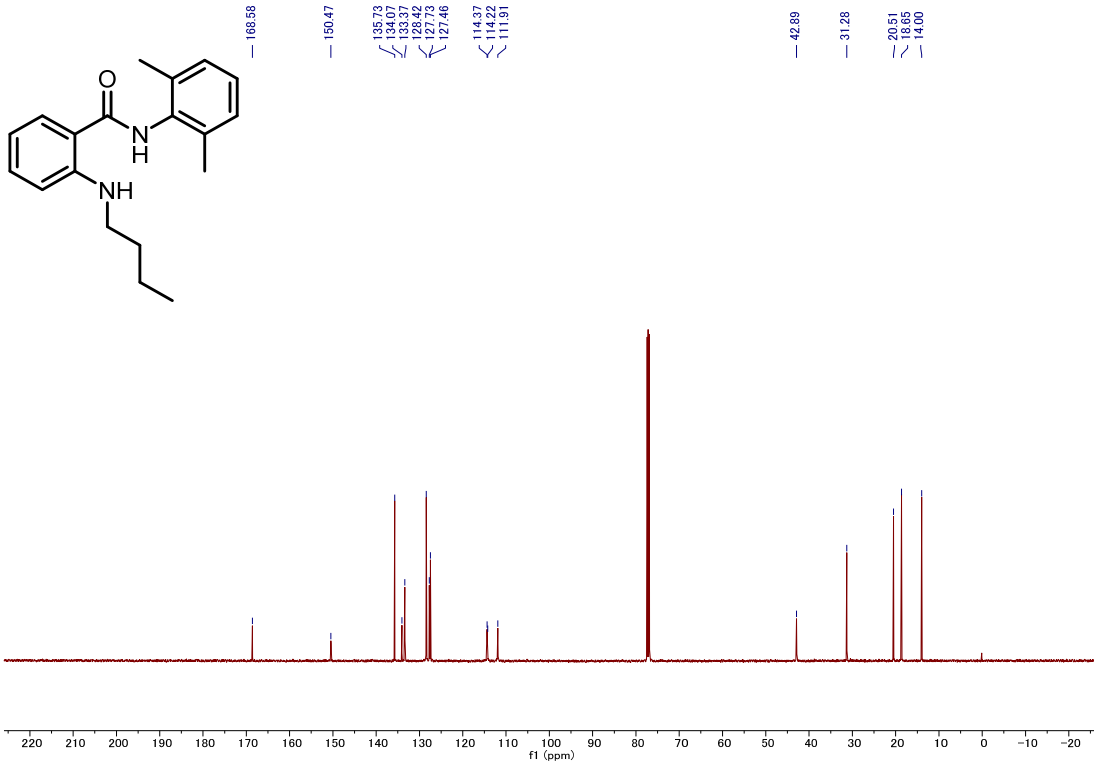

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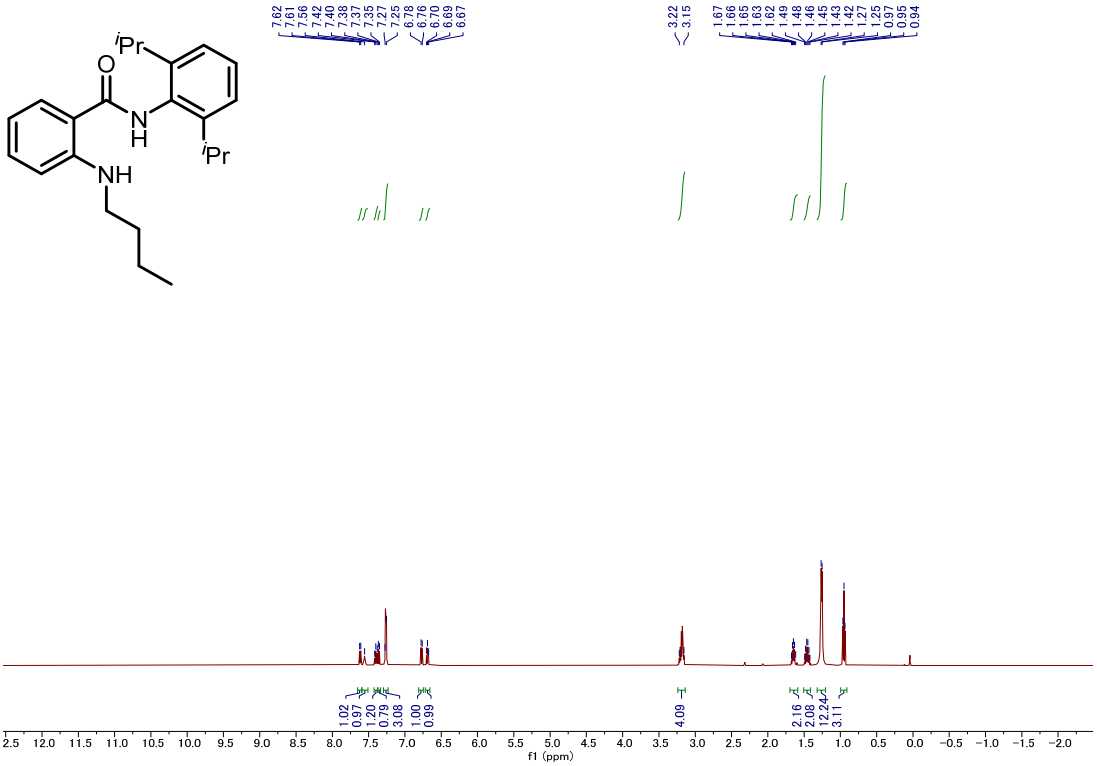

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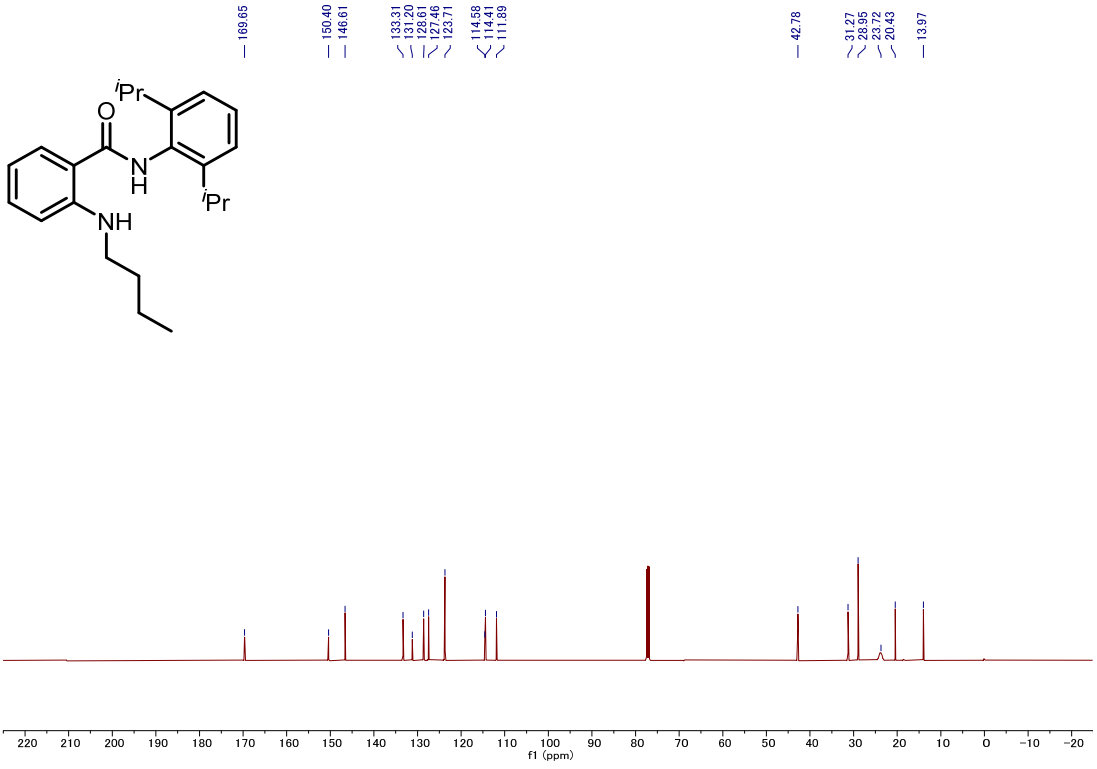

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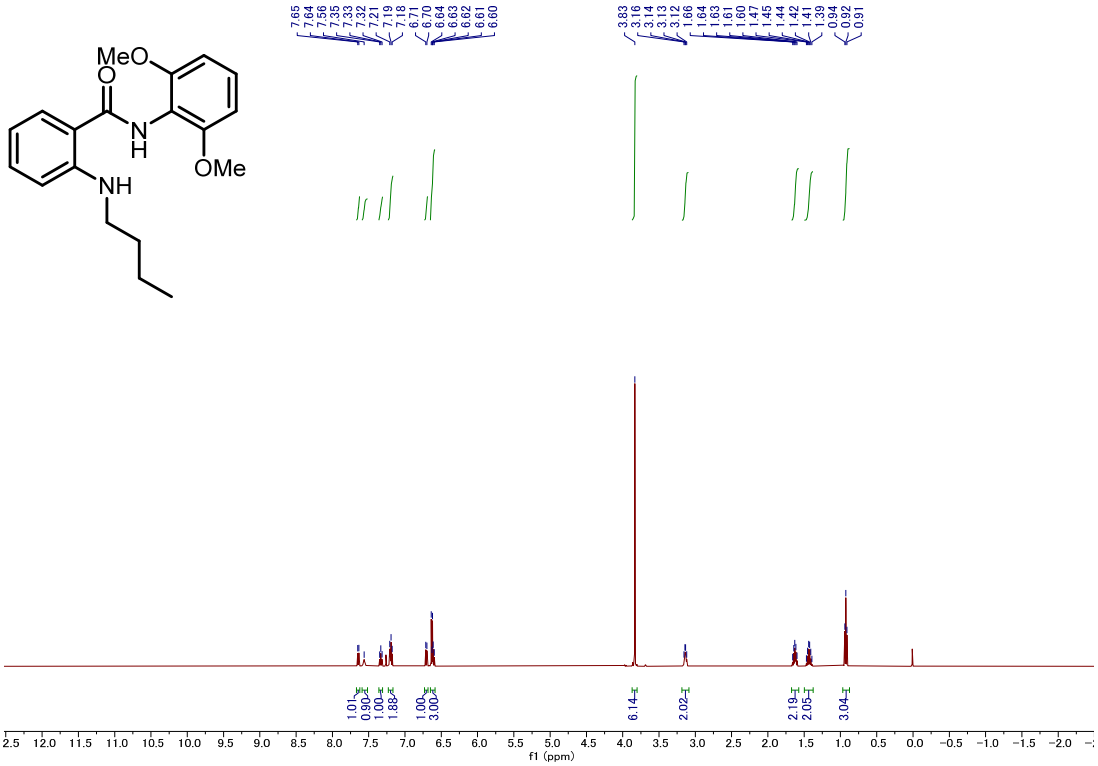

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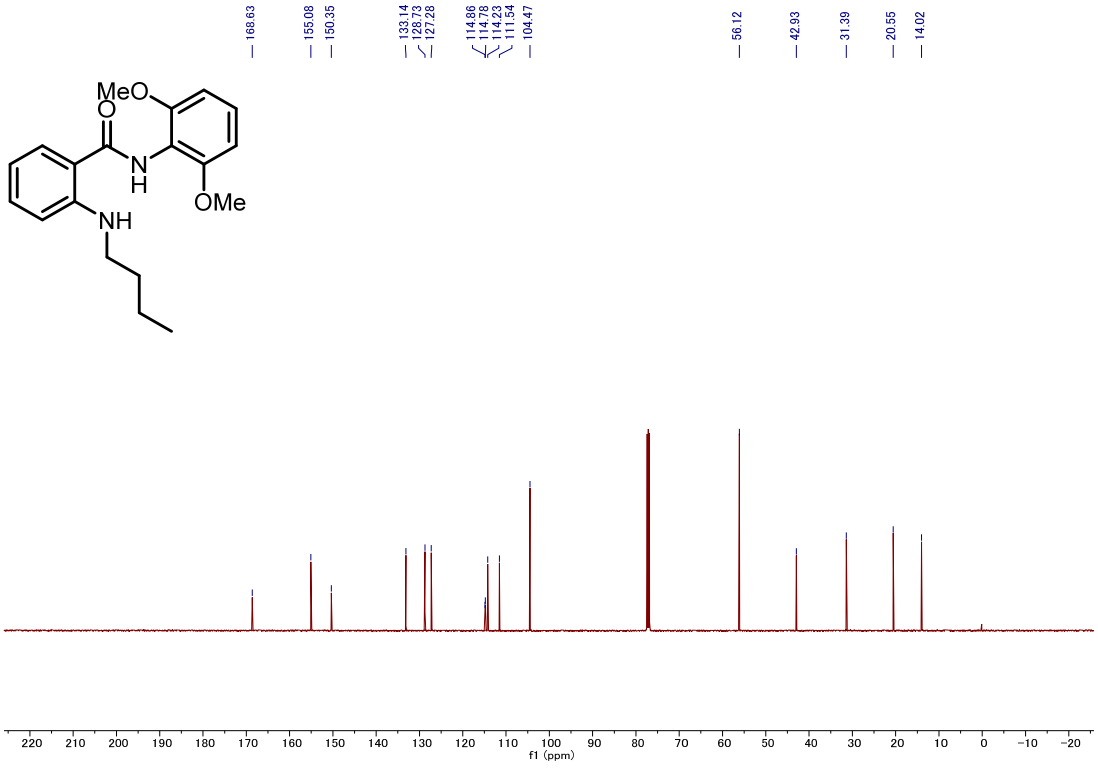

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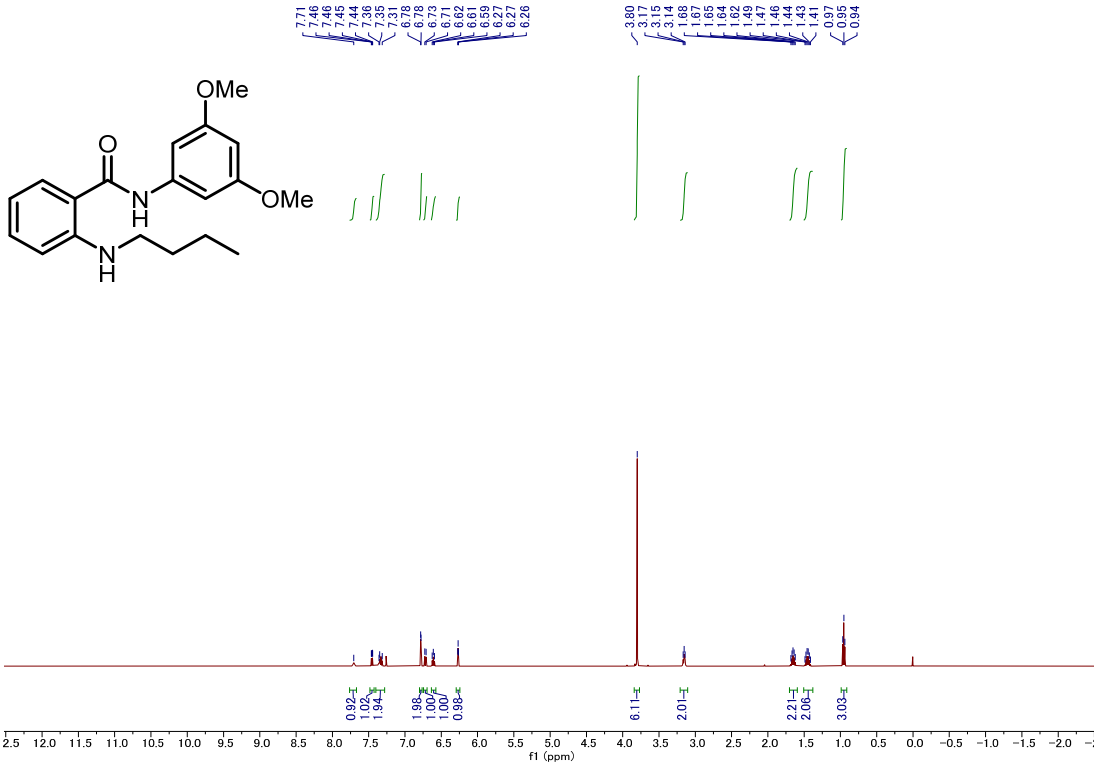

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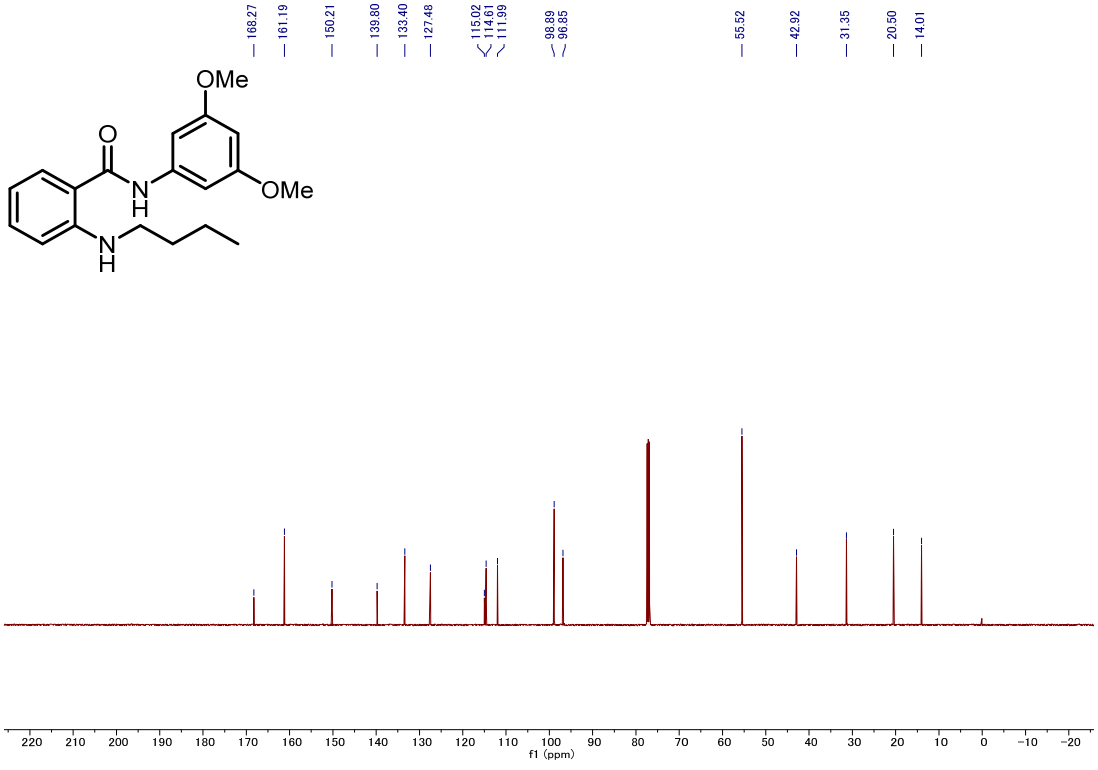

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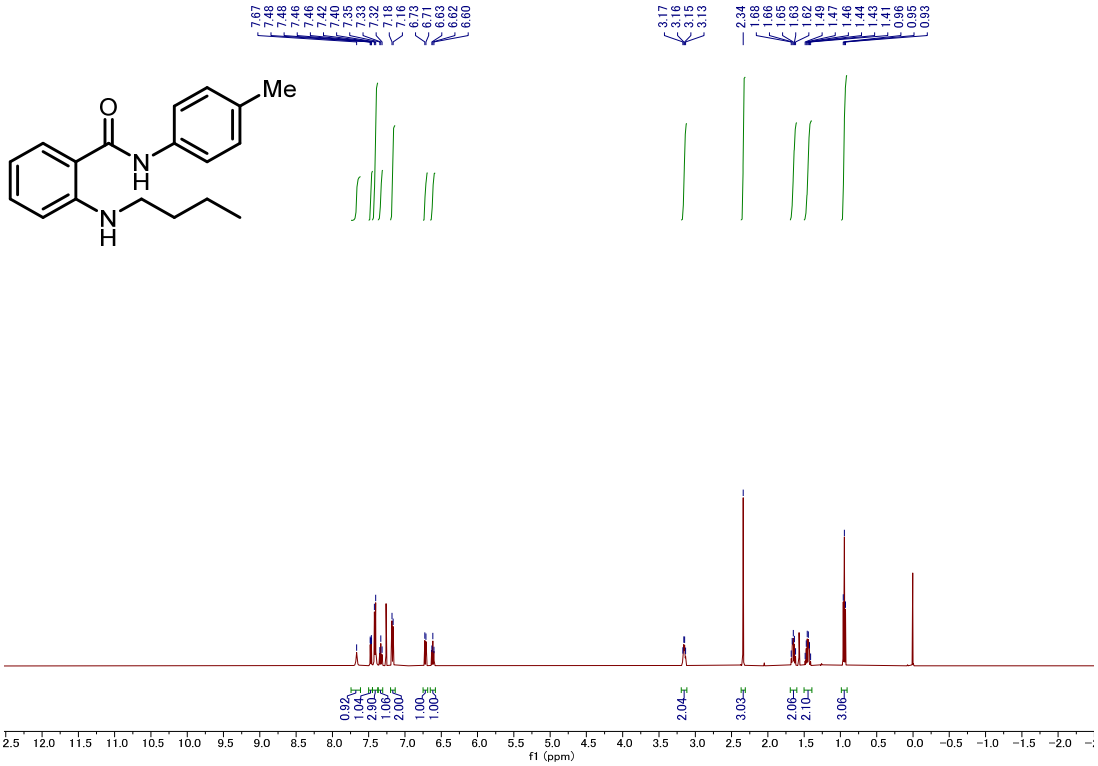

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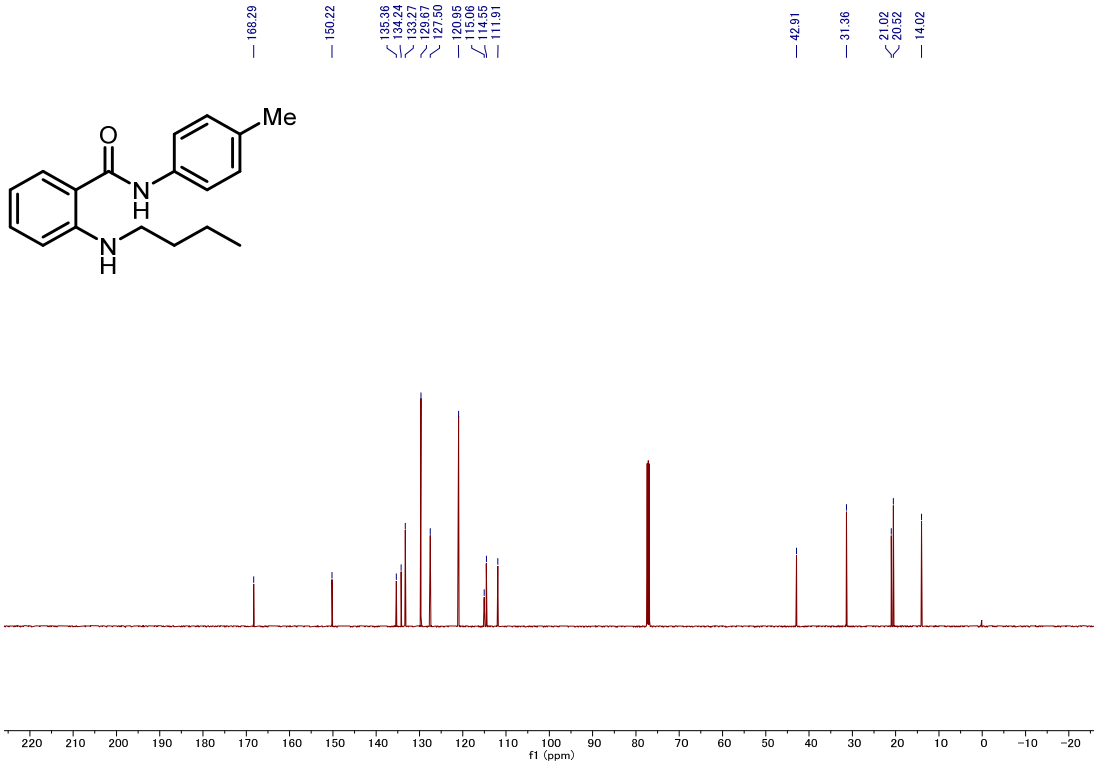

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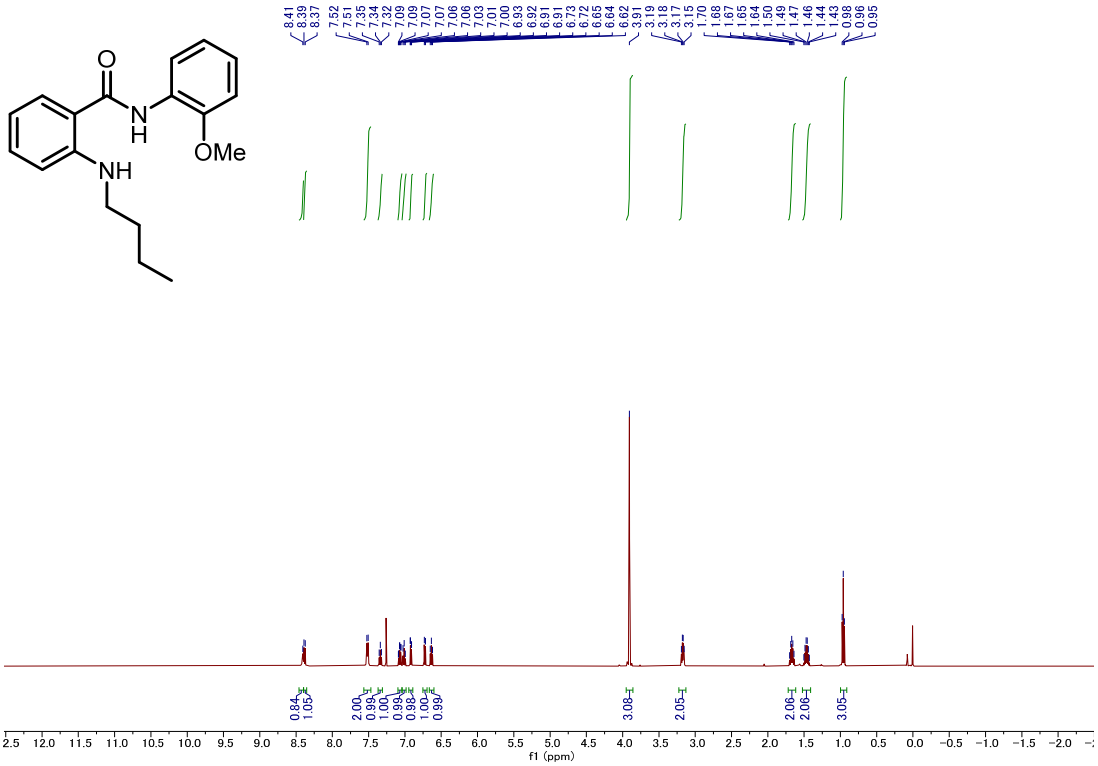

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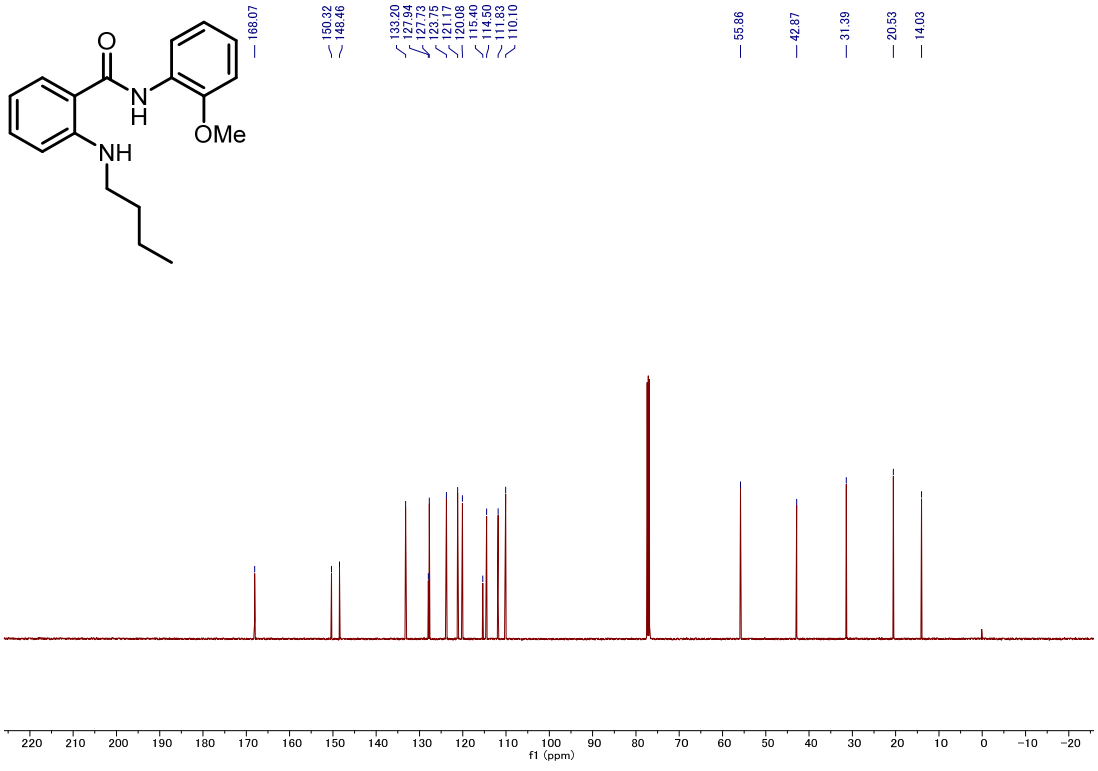

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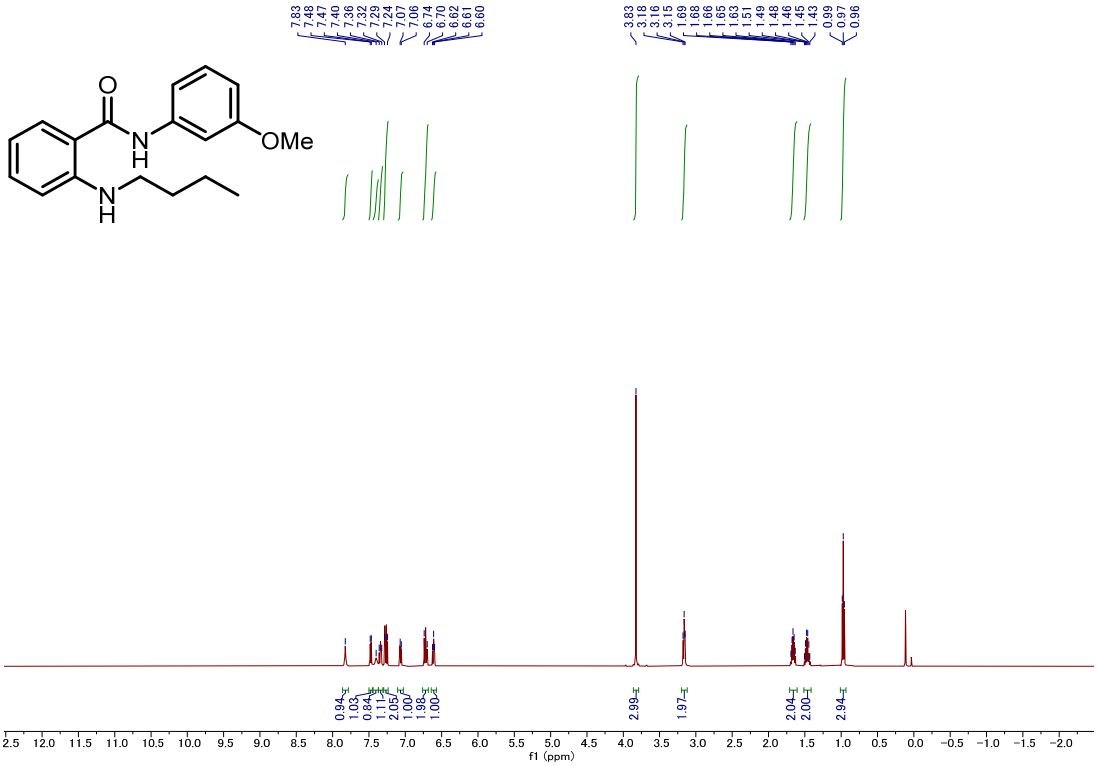

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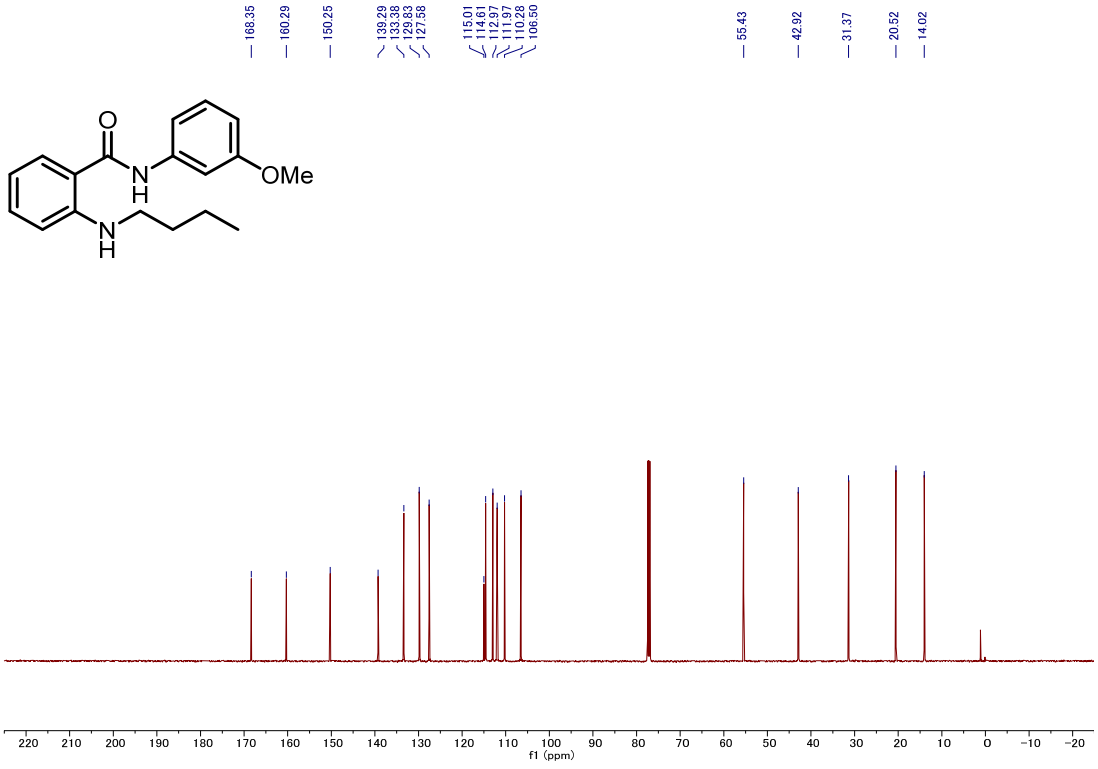

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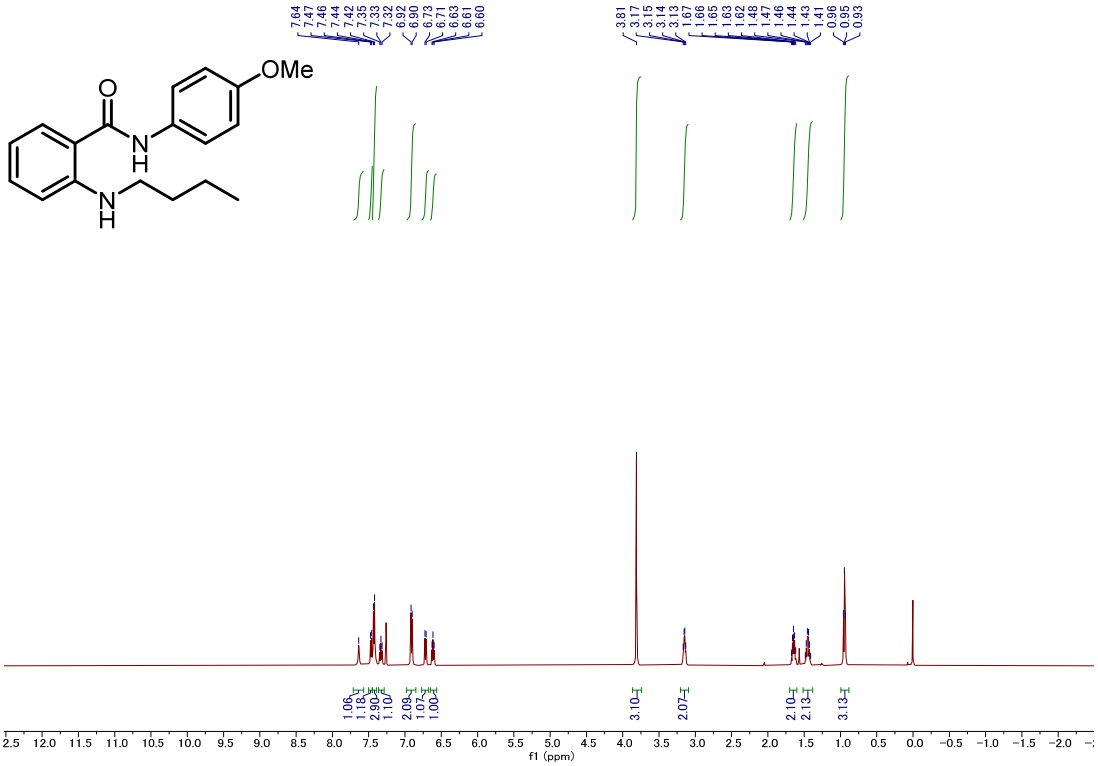

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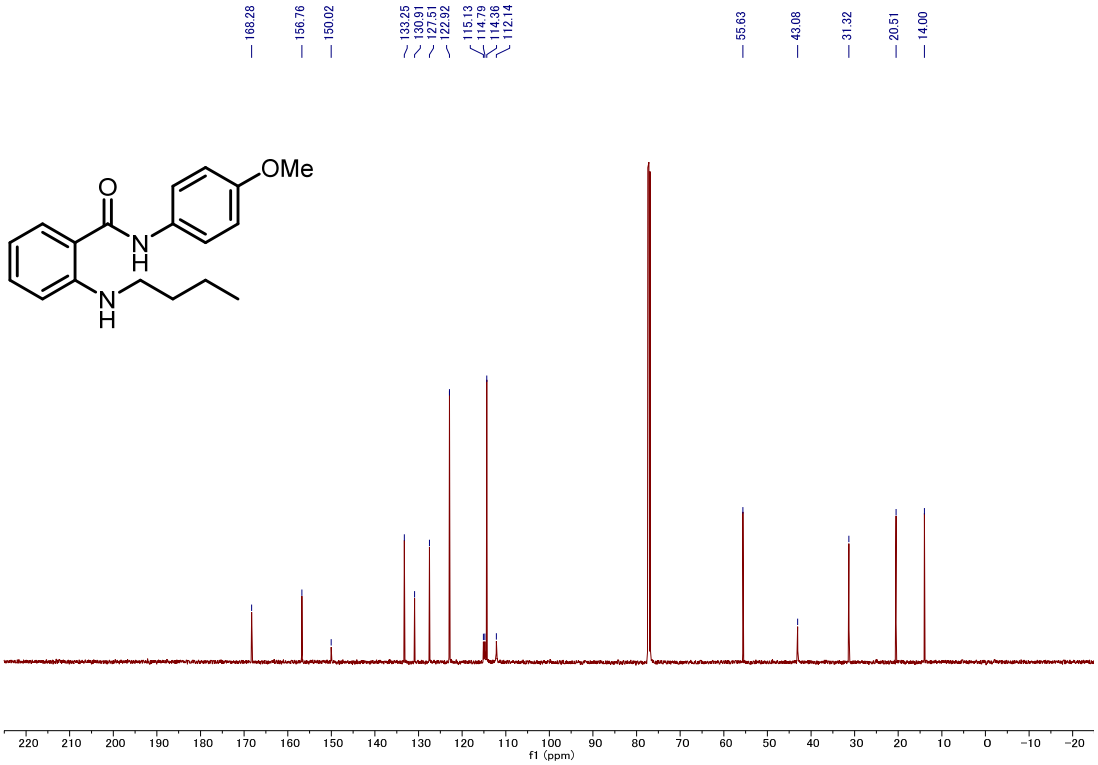

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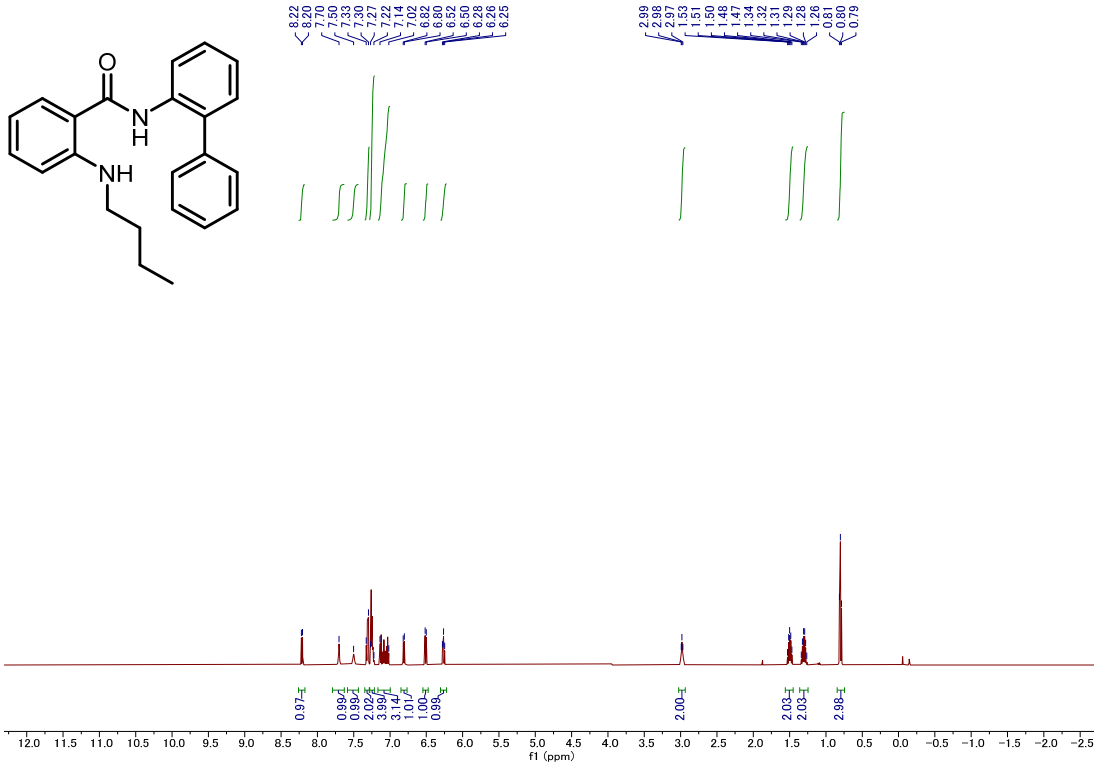

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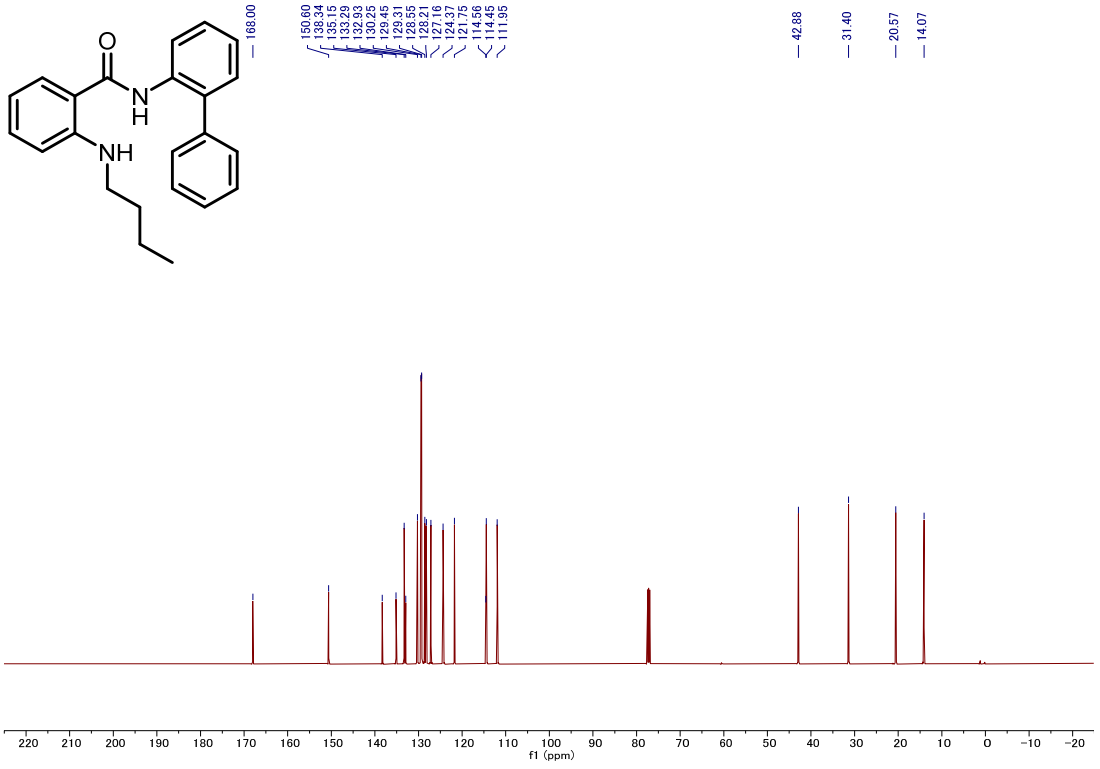

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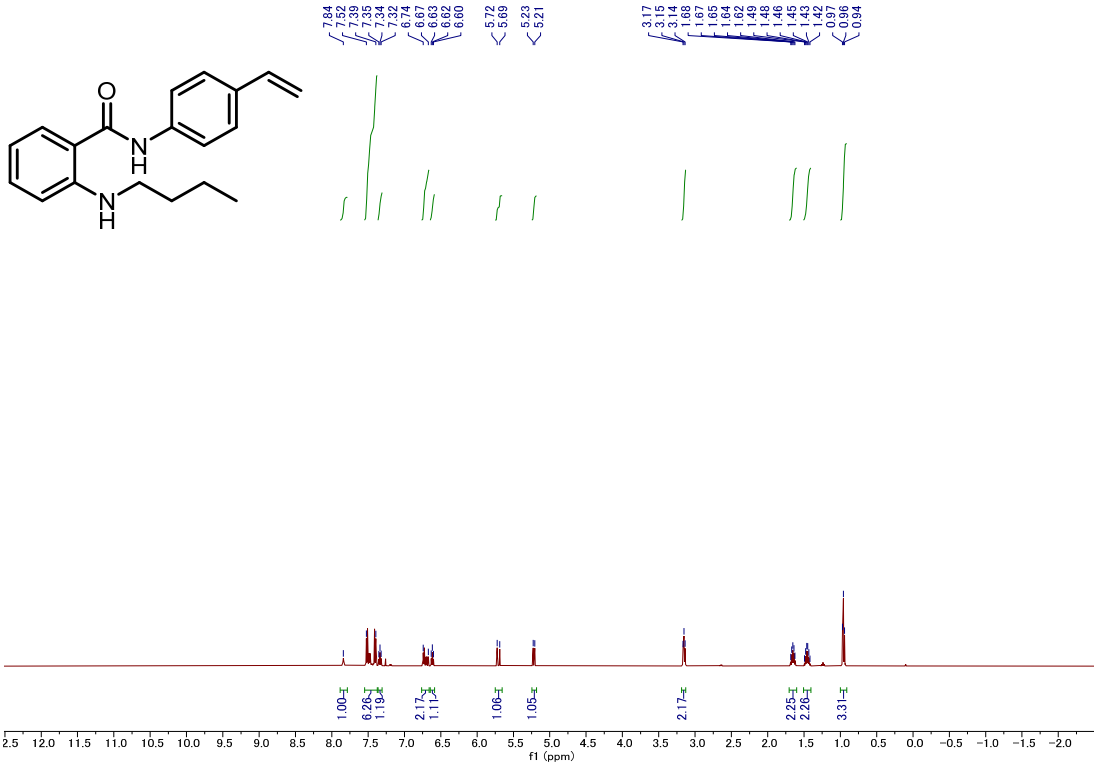
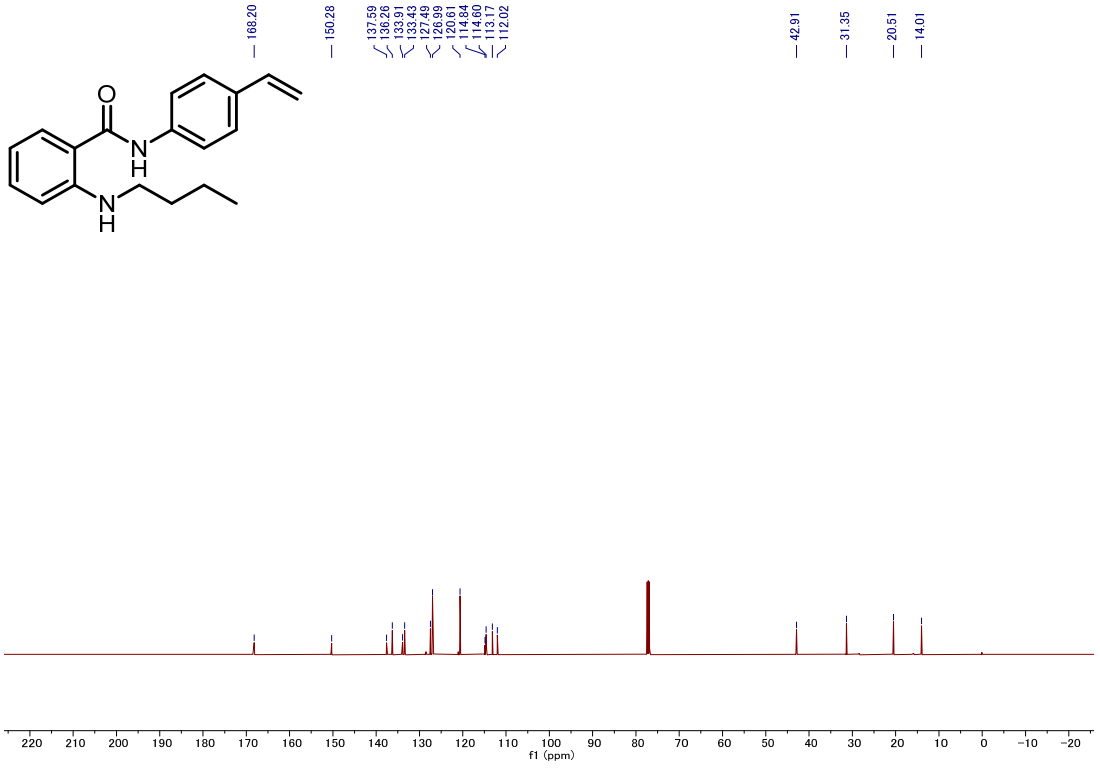


^{13}C NMR (**4p**)



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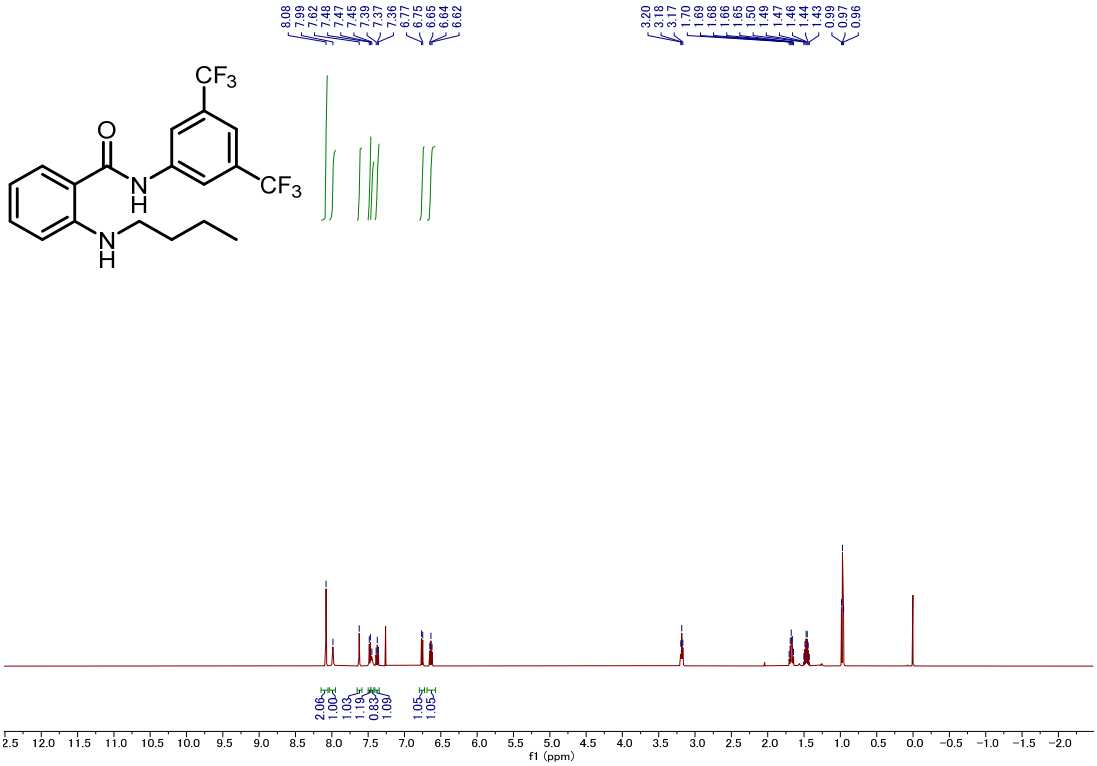

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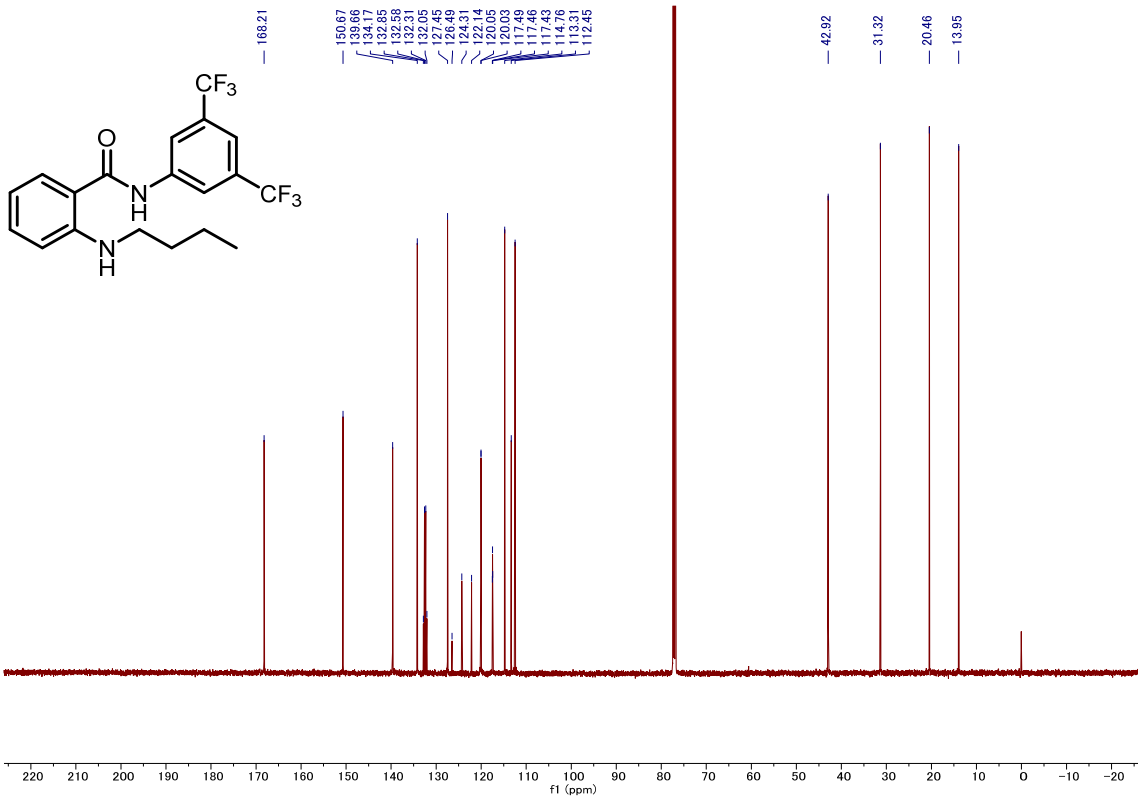
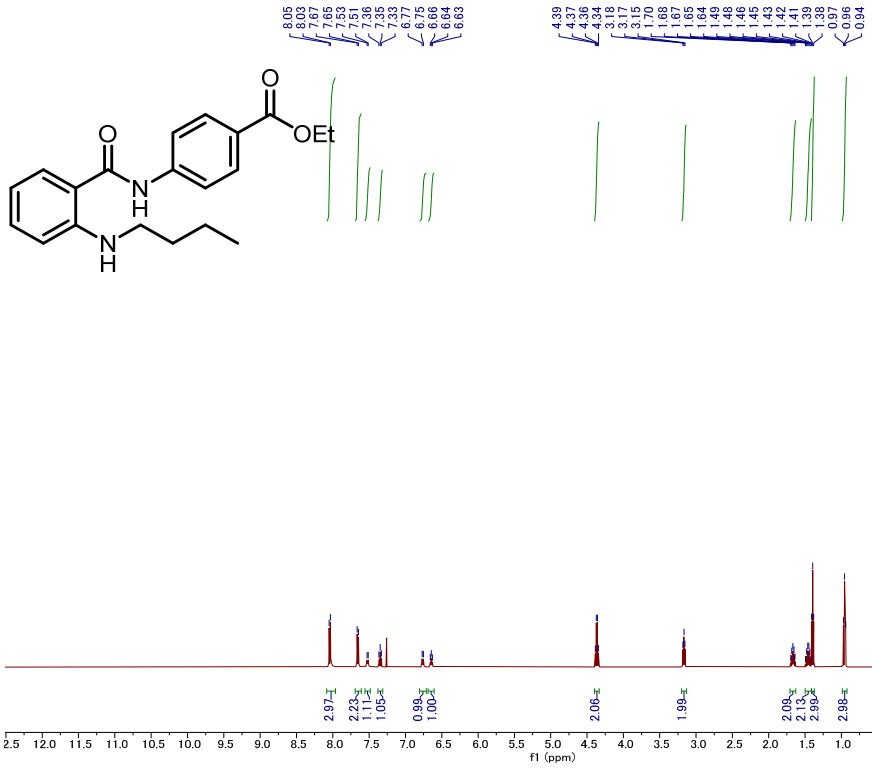


^{1}H NMR (**4r**)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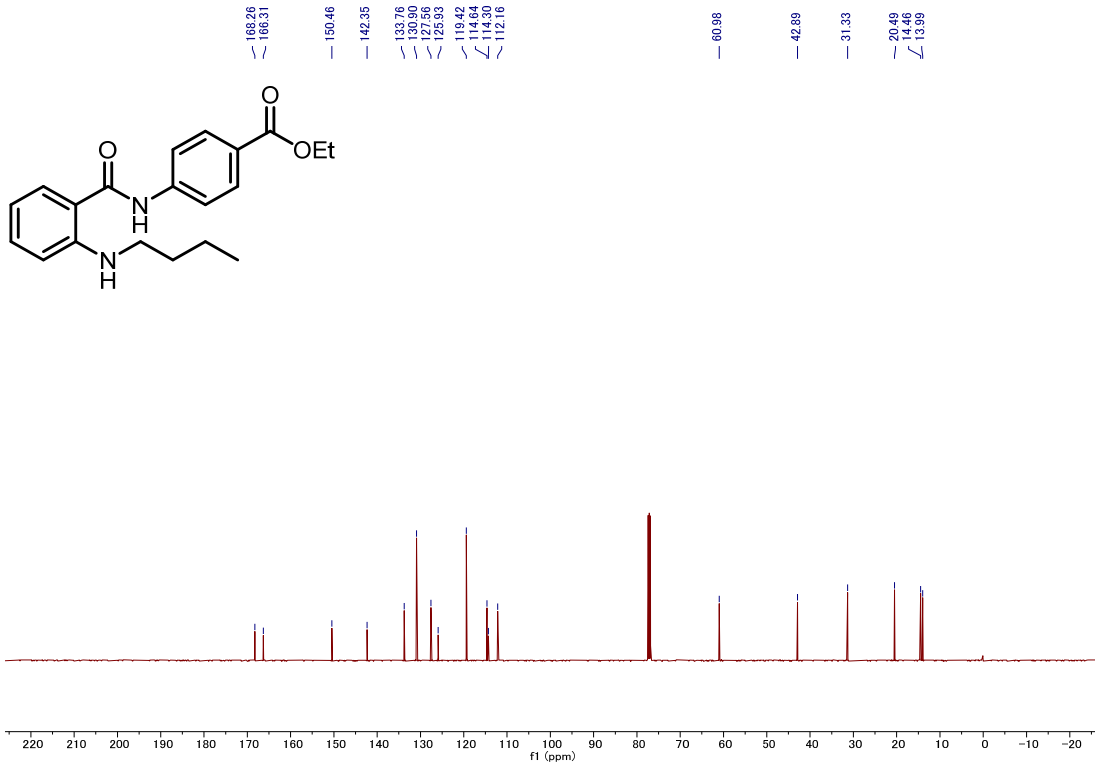
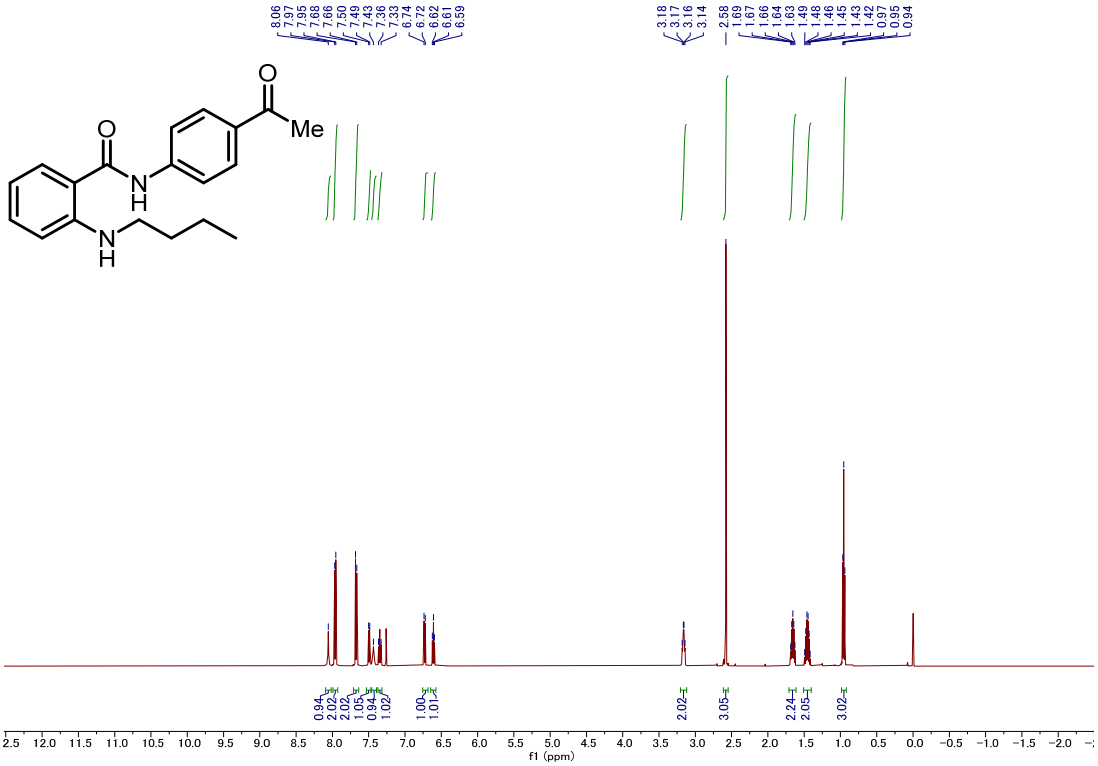
2.23
1.11
1.05
0.99
1.00
2.06
1.99
2.09
2.13
2.99
2.96
f1 (ppm)

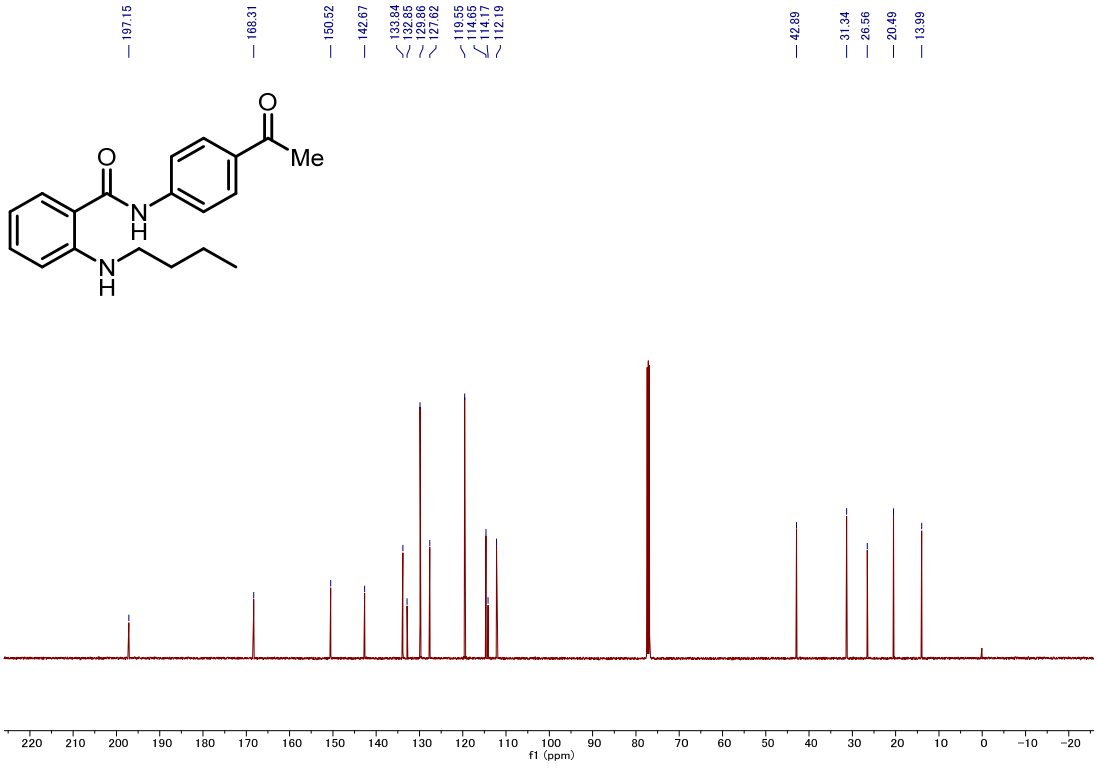
^{13}C NMR (**4r**)


168.26
166.31
150.46
142.35
133.76
130.90
127.56
125.93
119.42
114.64
114.30
112.16
60.98
42.89
31.33
20.49
14.46
13.99
O
OEt
O
N
H
N
H
f1 (ppm)

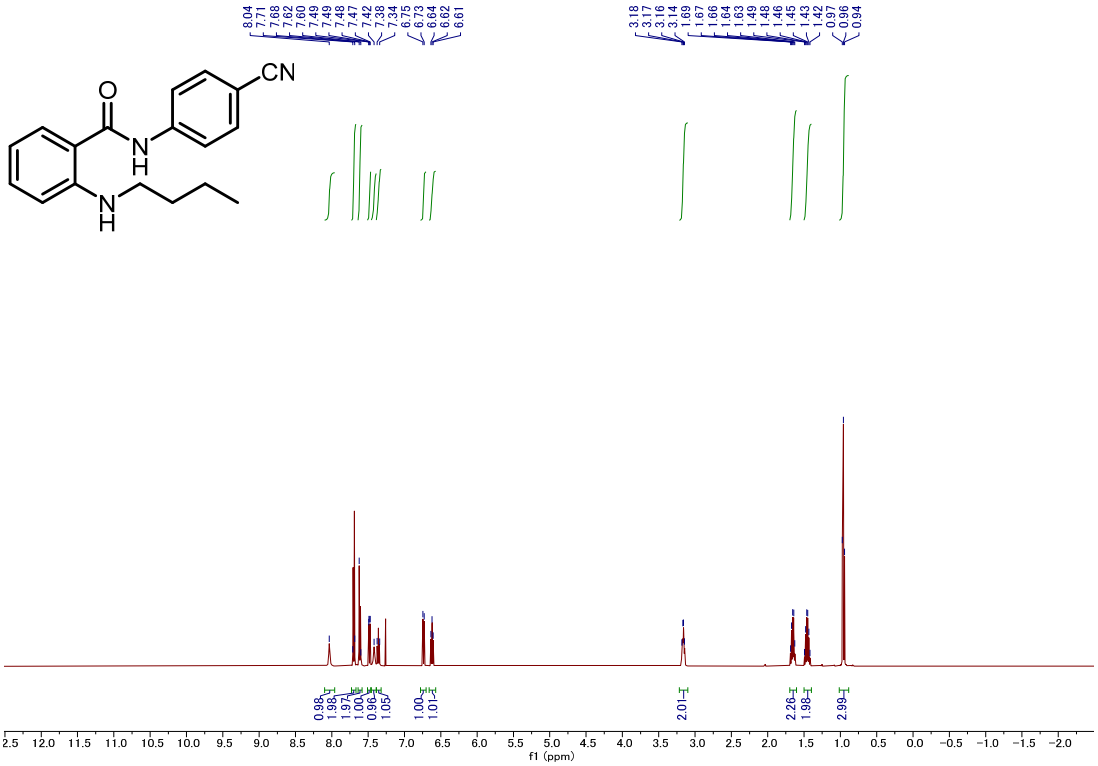
^{1}H NMR (**4s**)



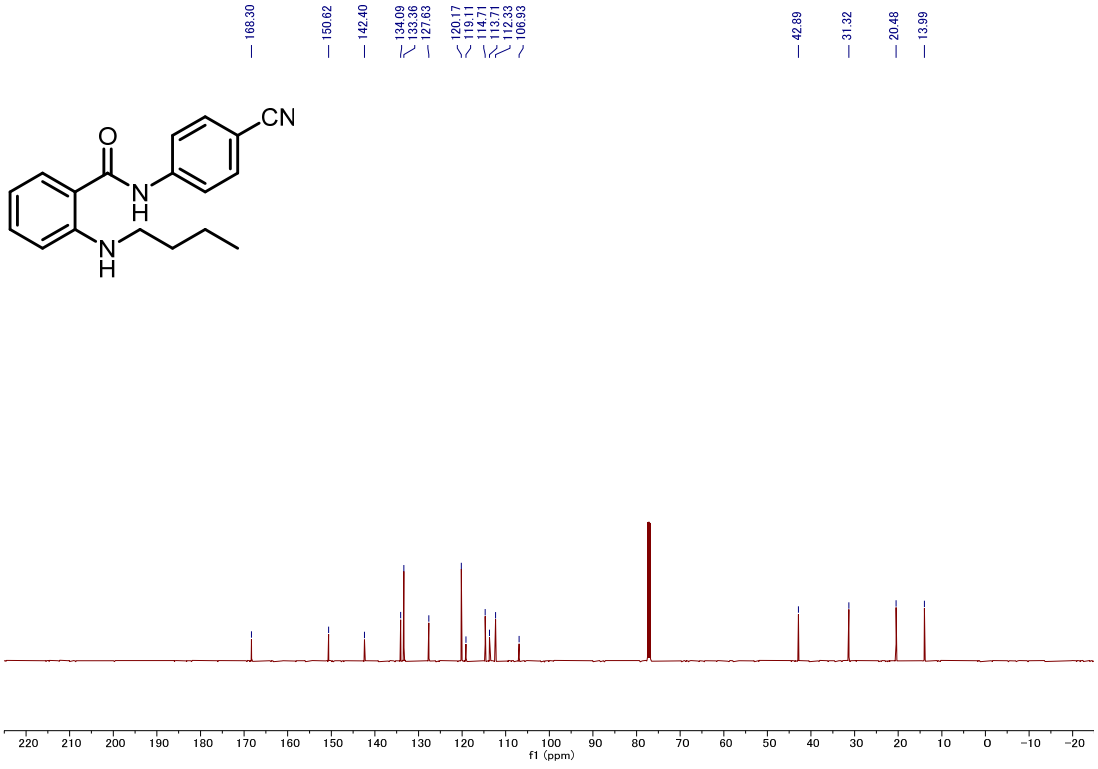
^{13}C NMR (**4s**)



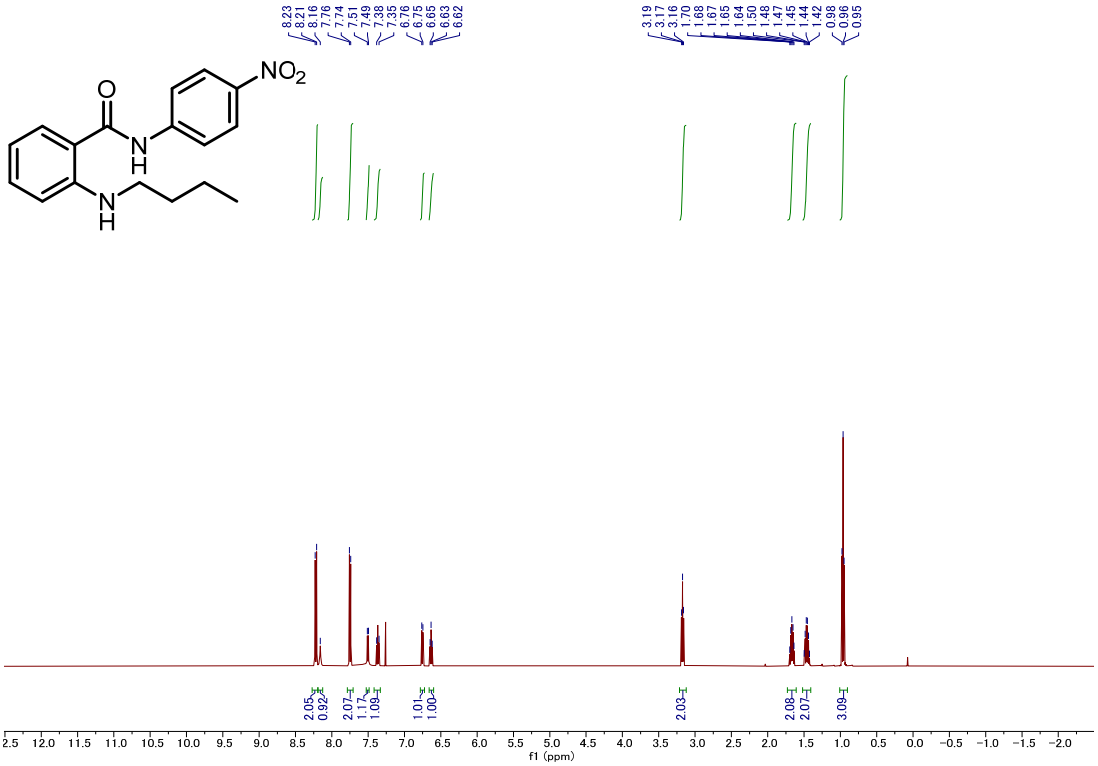
^{1}H NMR (**4t**)



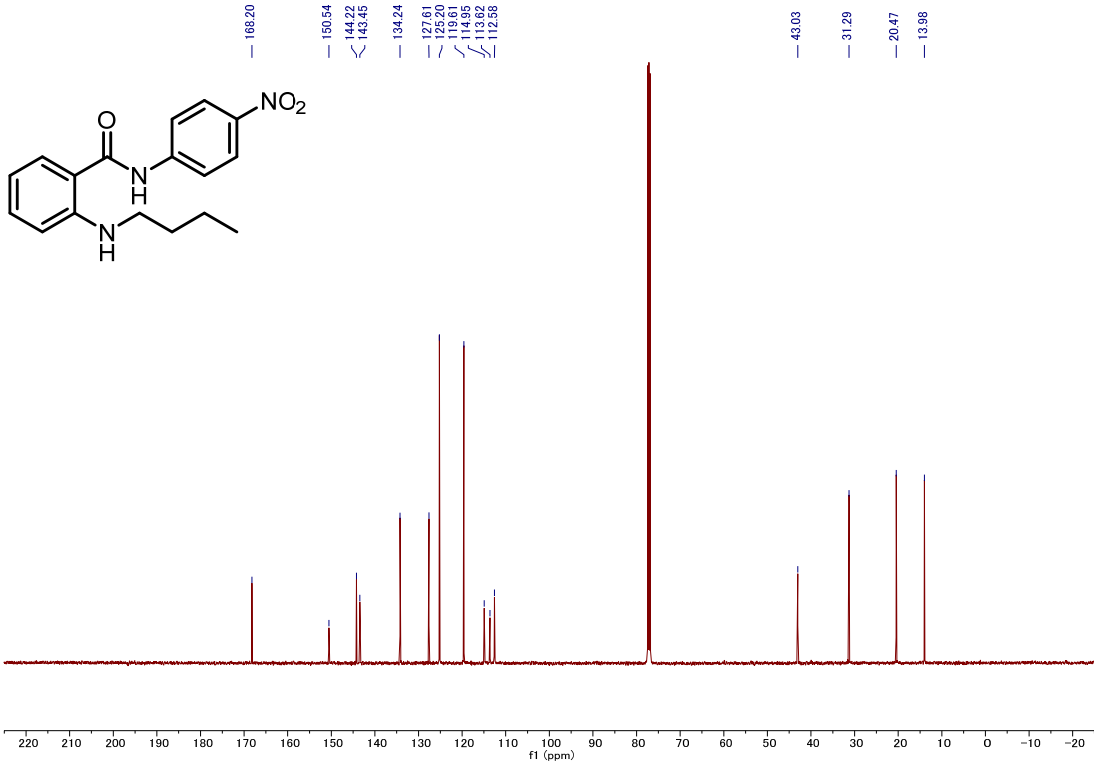
^{13}C NMR (**4t**)



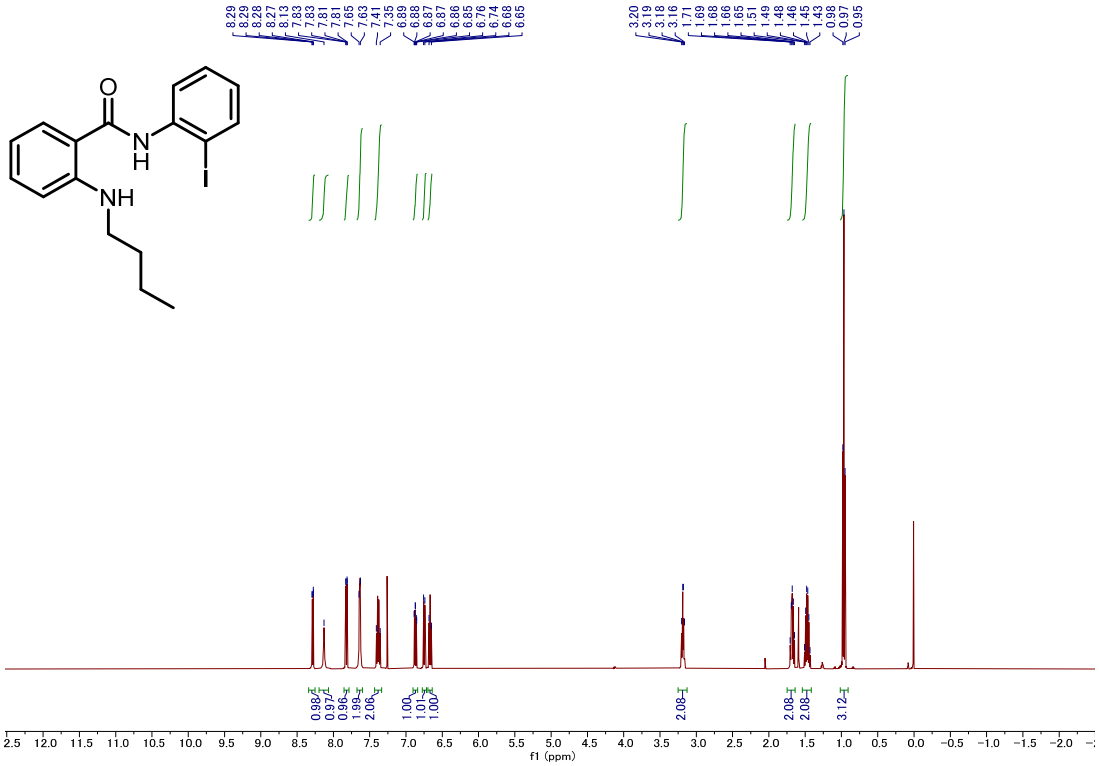
^{1}H NMR (**4u**)



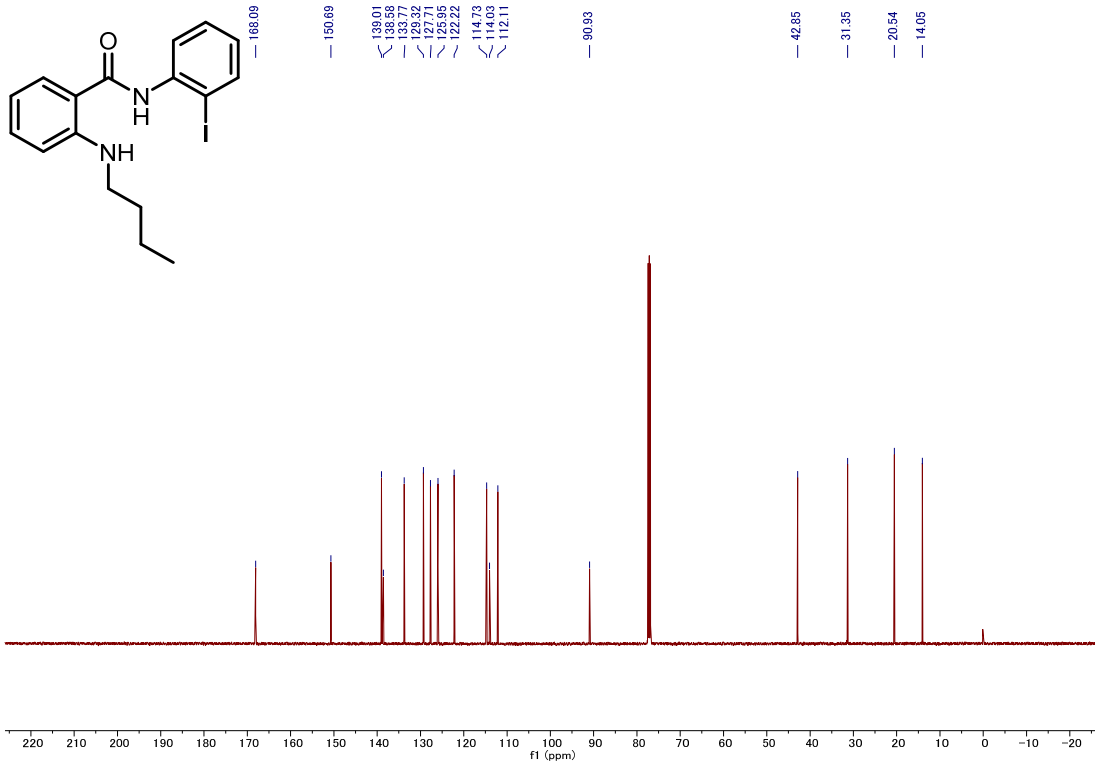
^{13}C NMR (**4u**)



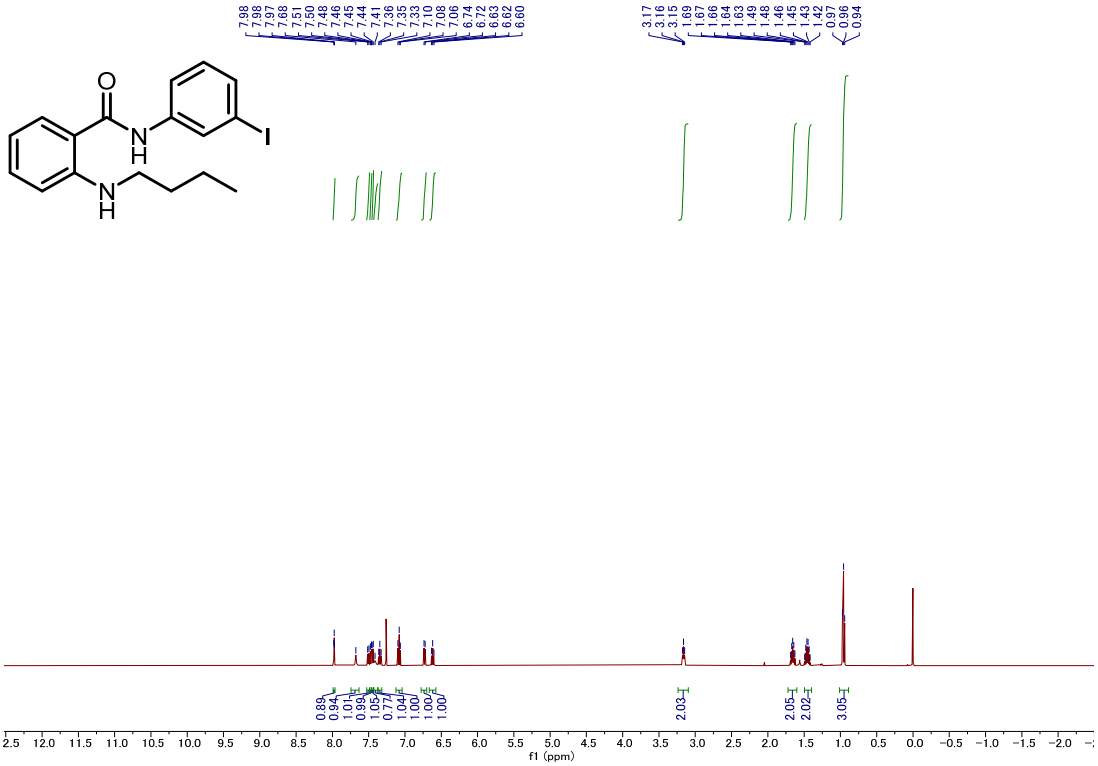
^{1}H NMR (**6a**)



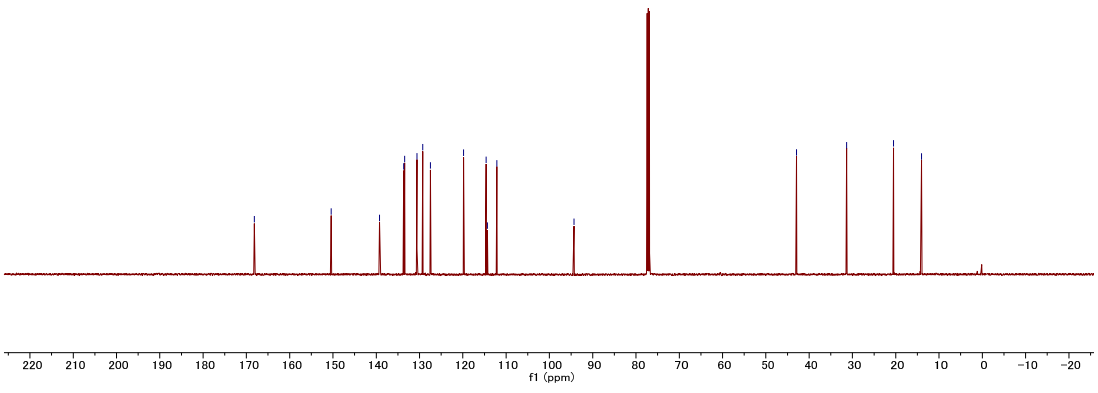
^{13}C NMR (**6a**)



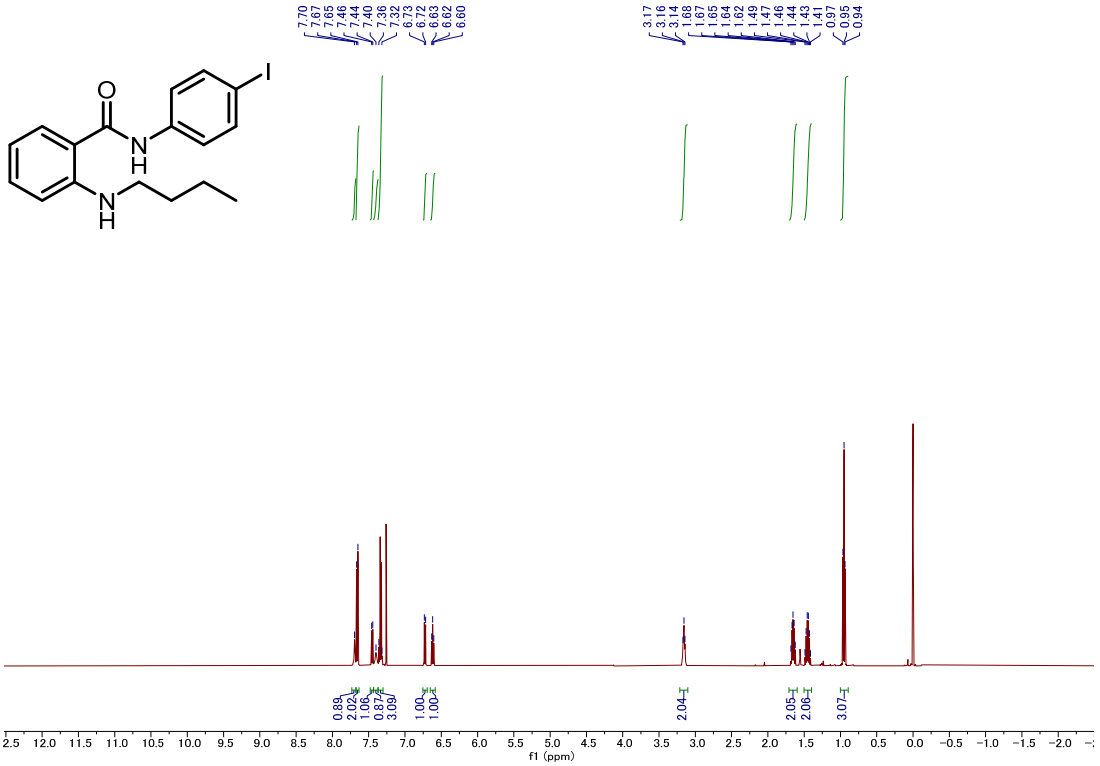
^{1}H NMR (**6b**)



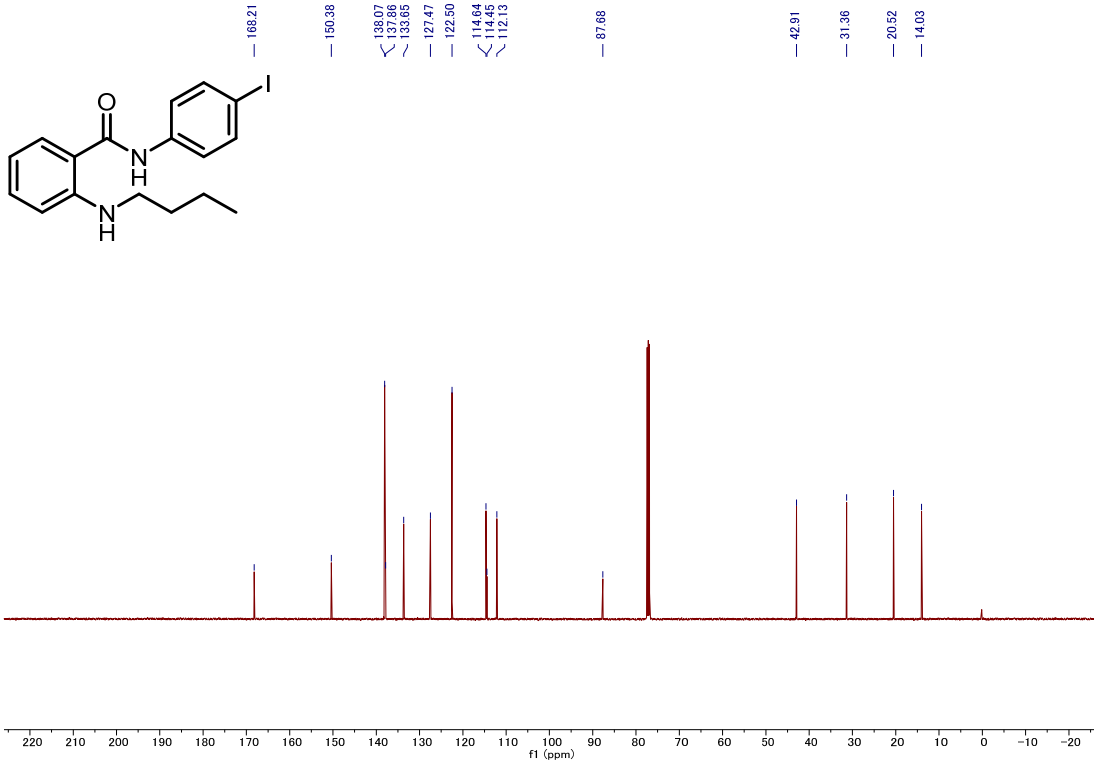
^{13}C NMR (**6b**)



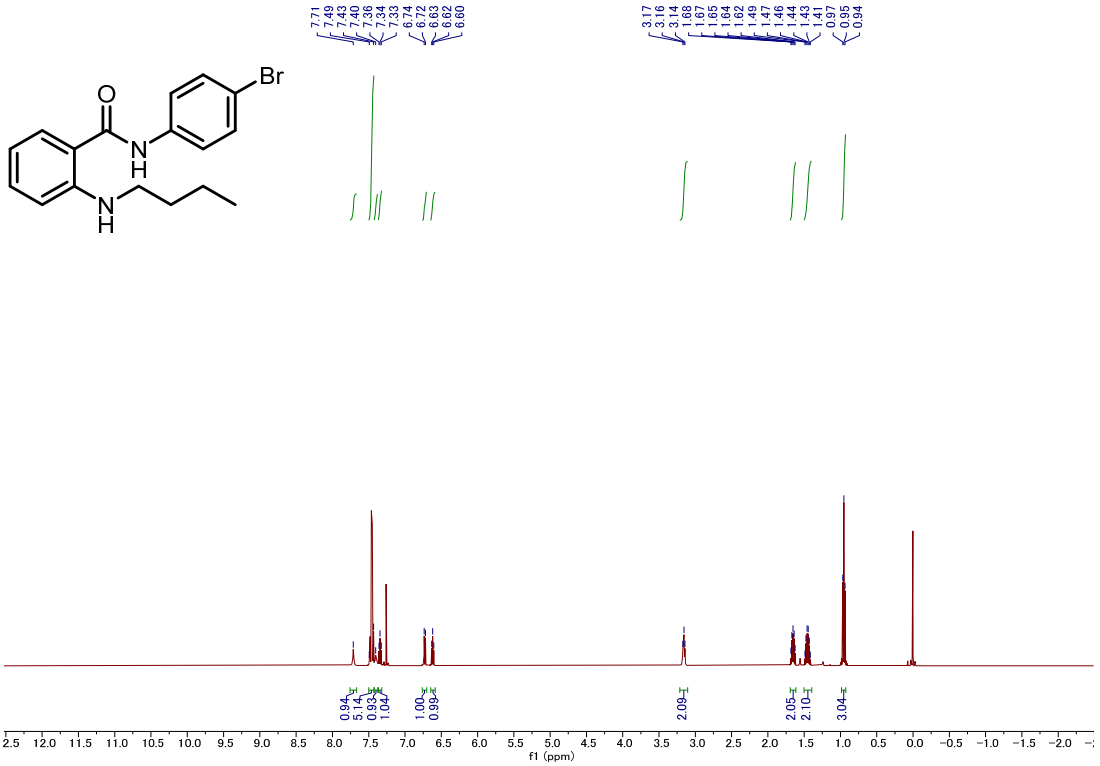
^{1}H NMR (**6c**)



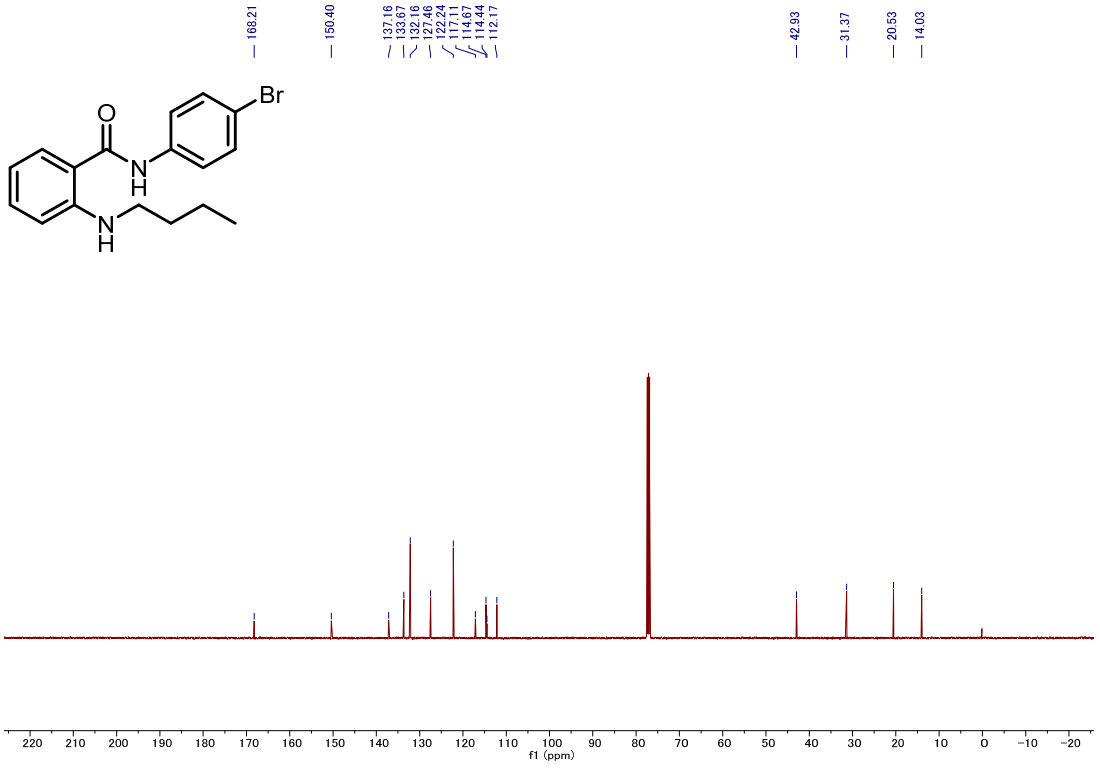
^{13}C NMR (**6c**)



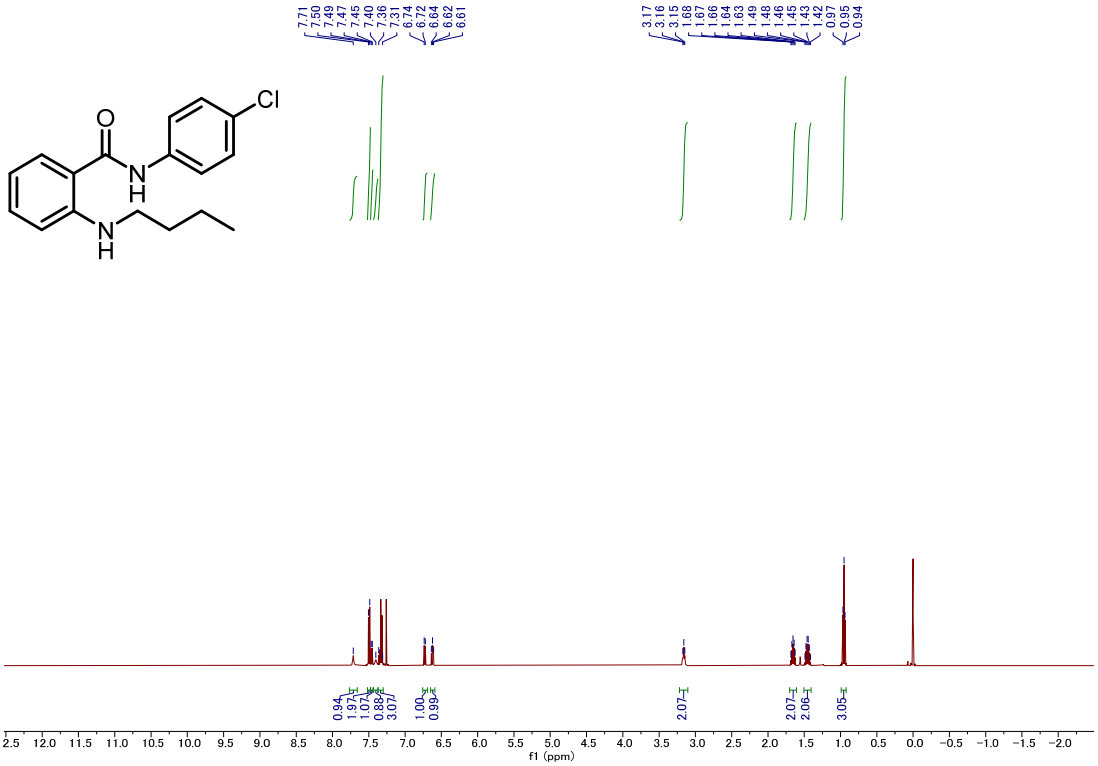
^{1}H NMR (**6d**)



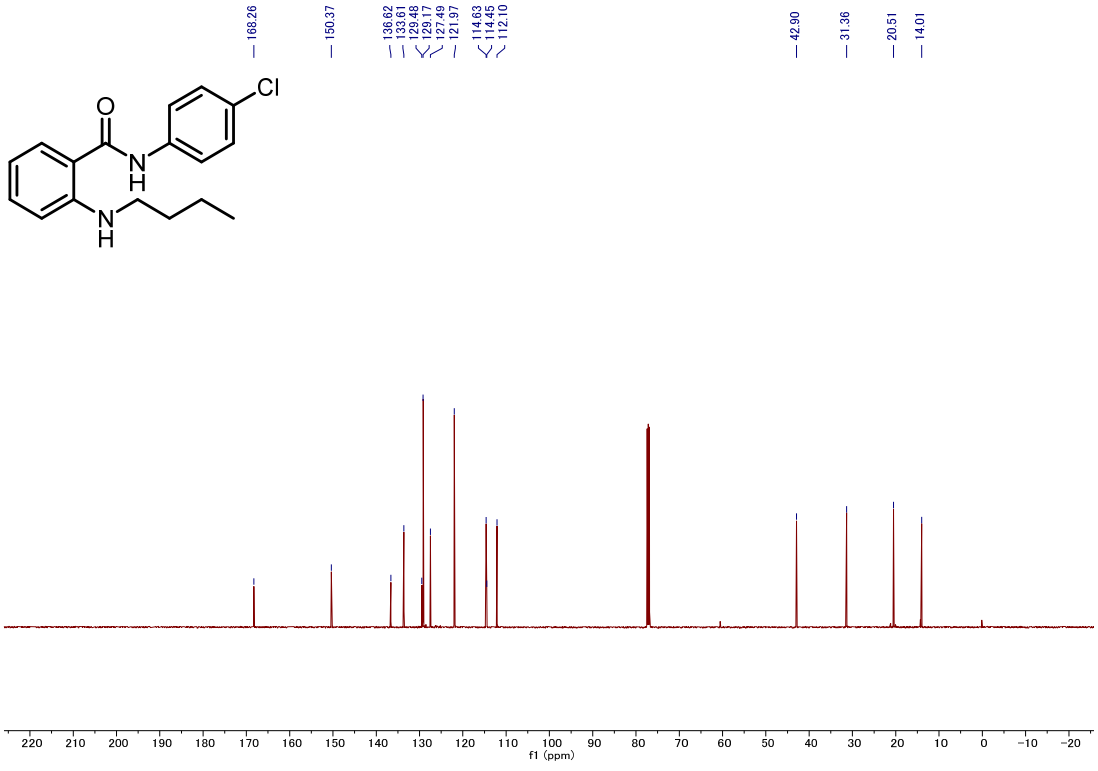
^{13}C NMR (**6d**)



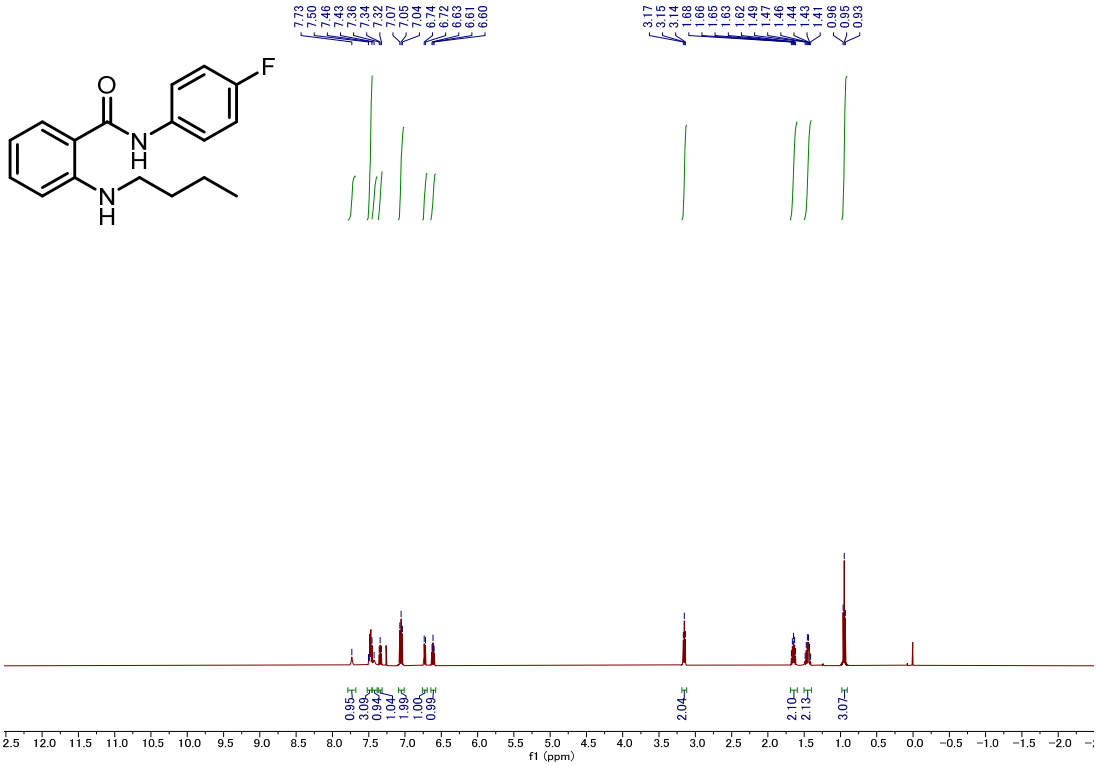
^{1}H NMR (**6e**)



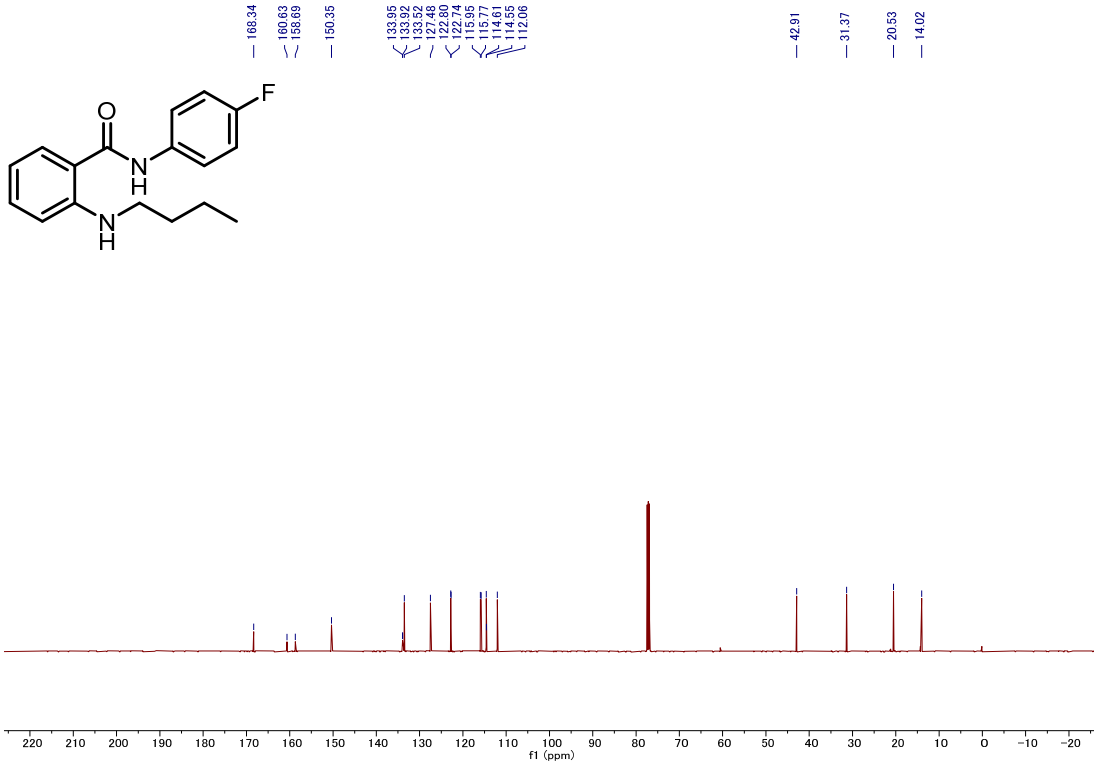
^{13}C NMR (**6e**)



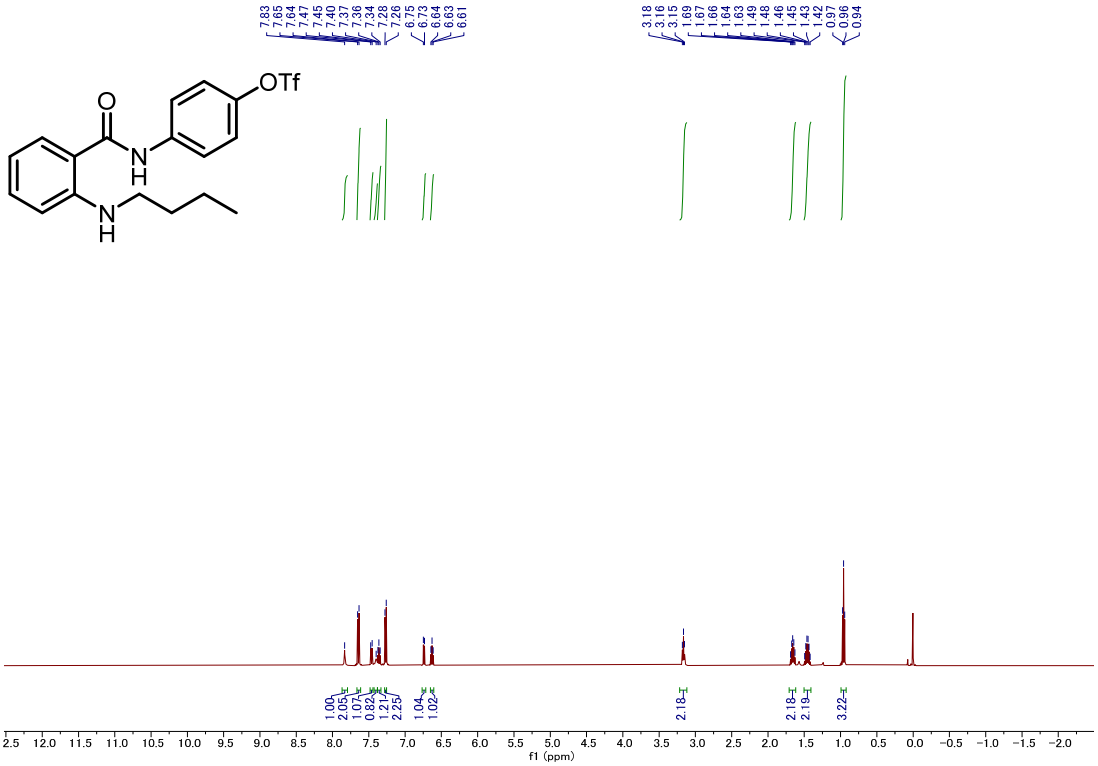
^{1}H NMR (**6f**)



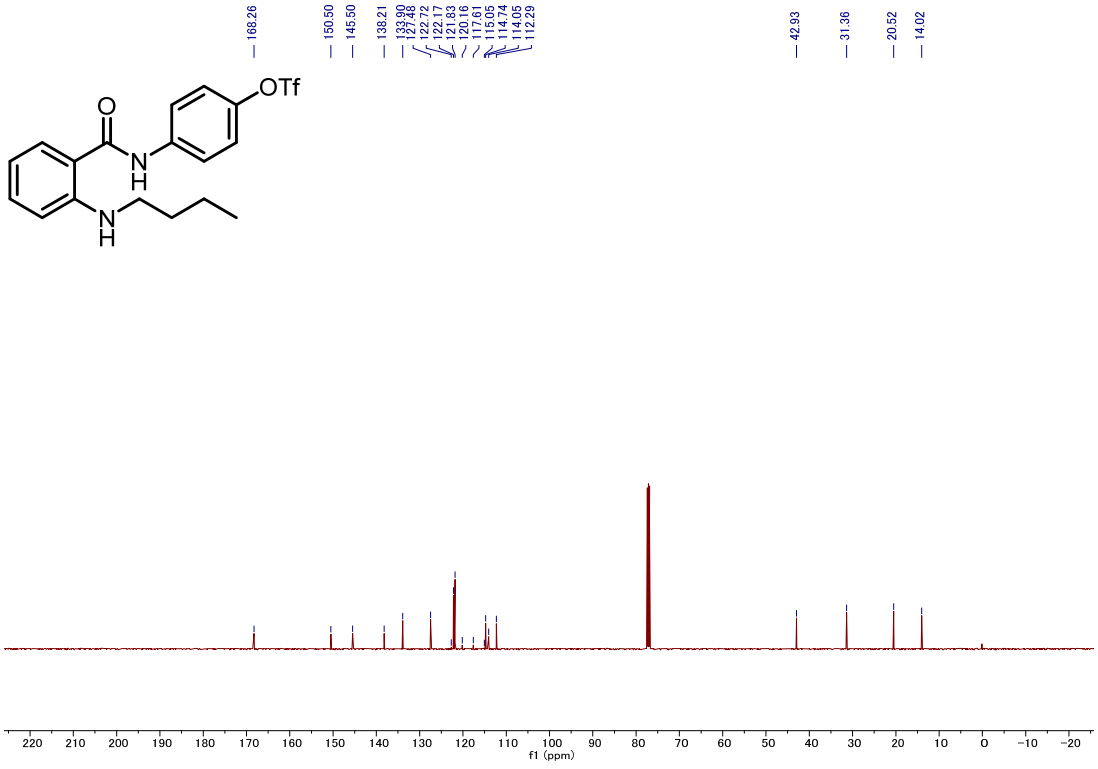
^{13}C NMR (**6f**)



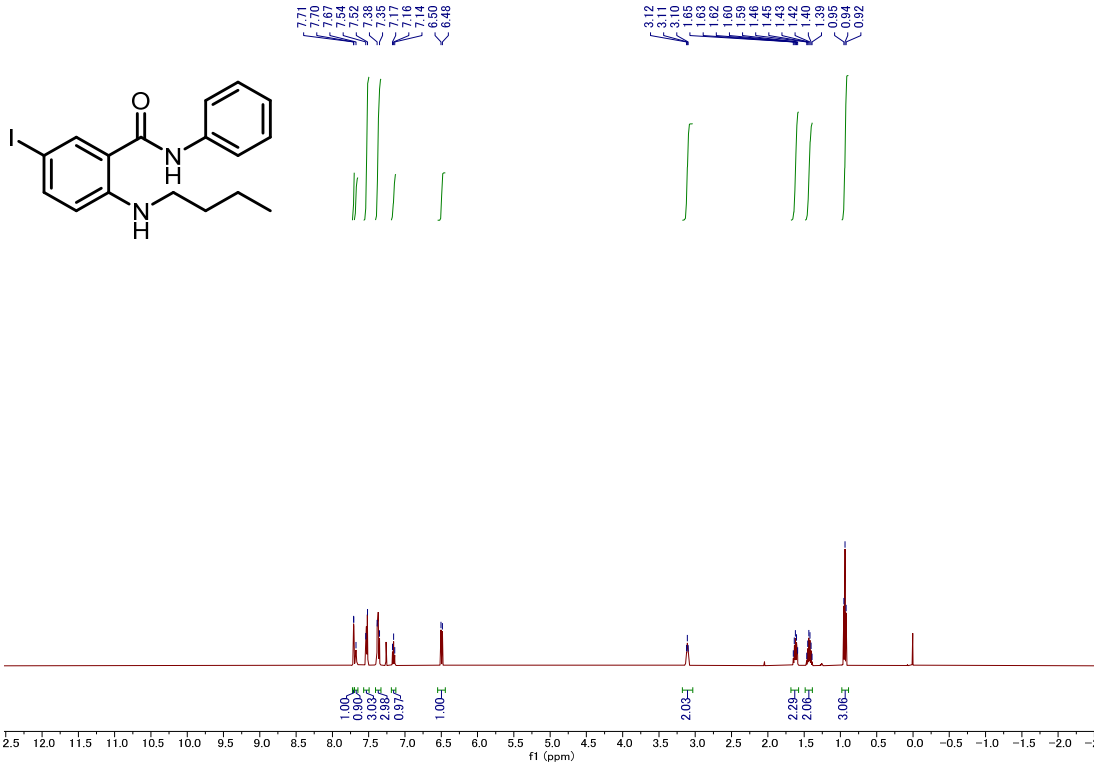
^{1}H NMR (**6g**)



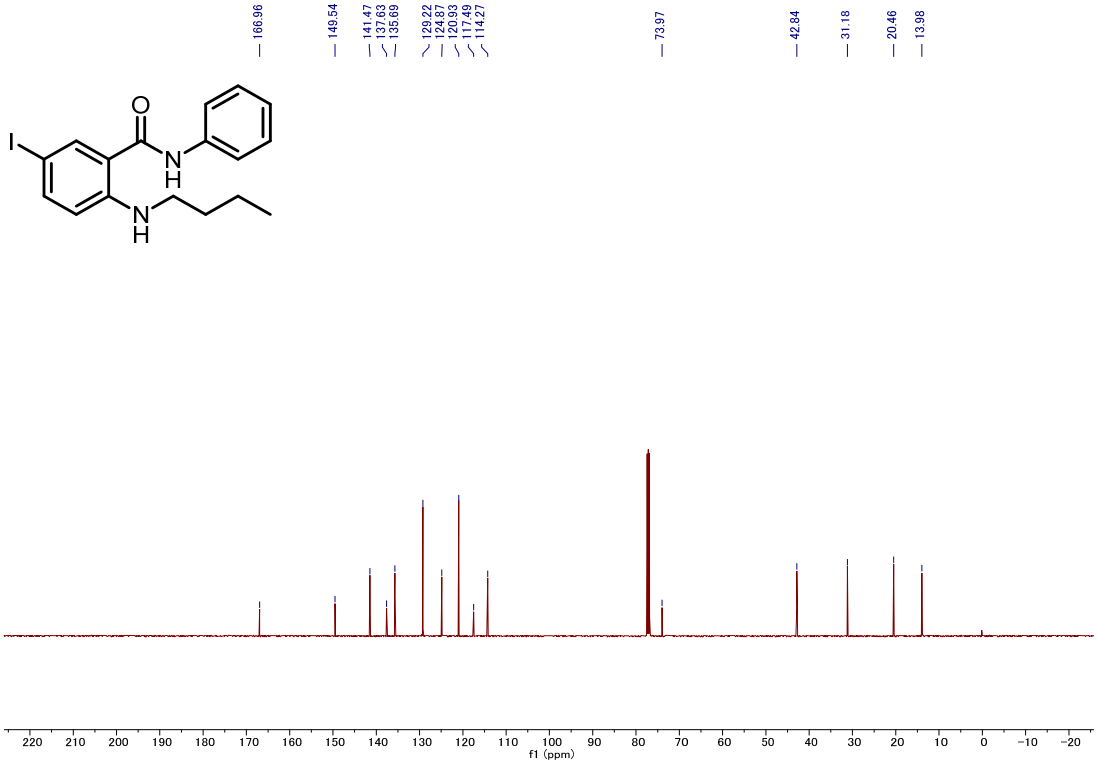
^{13}C NMR (**6g**)



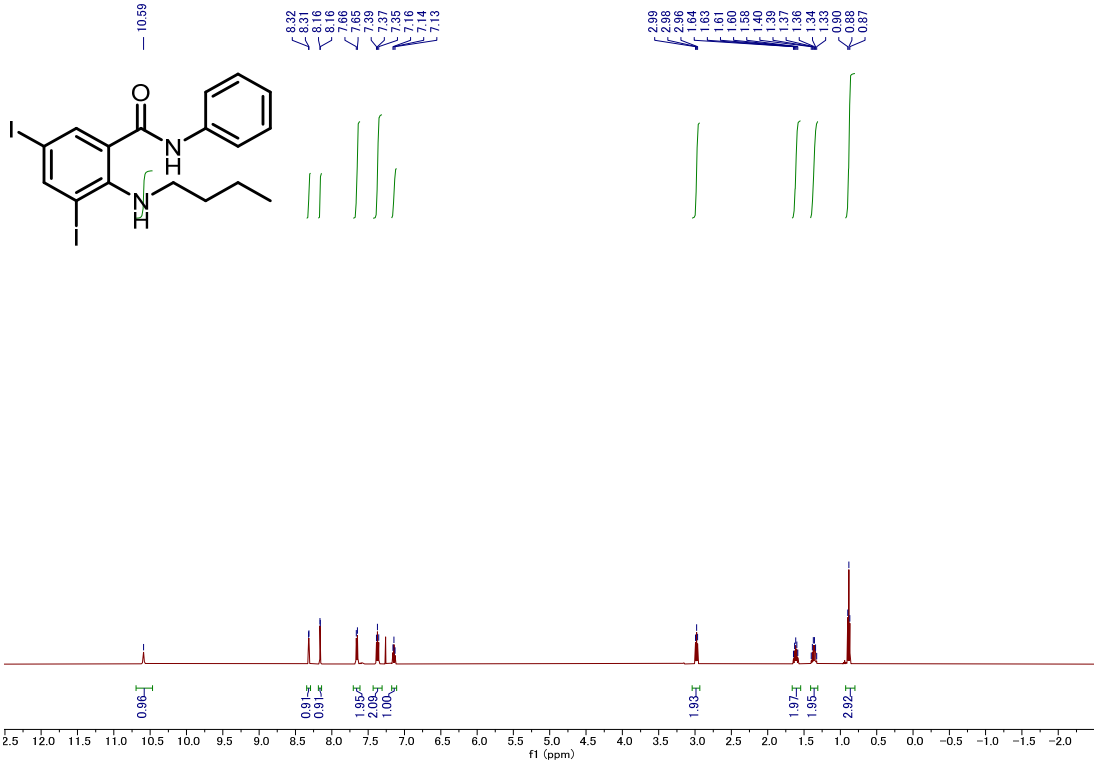
^{1}H NMR (**6h**)



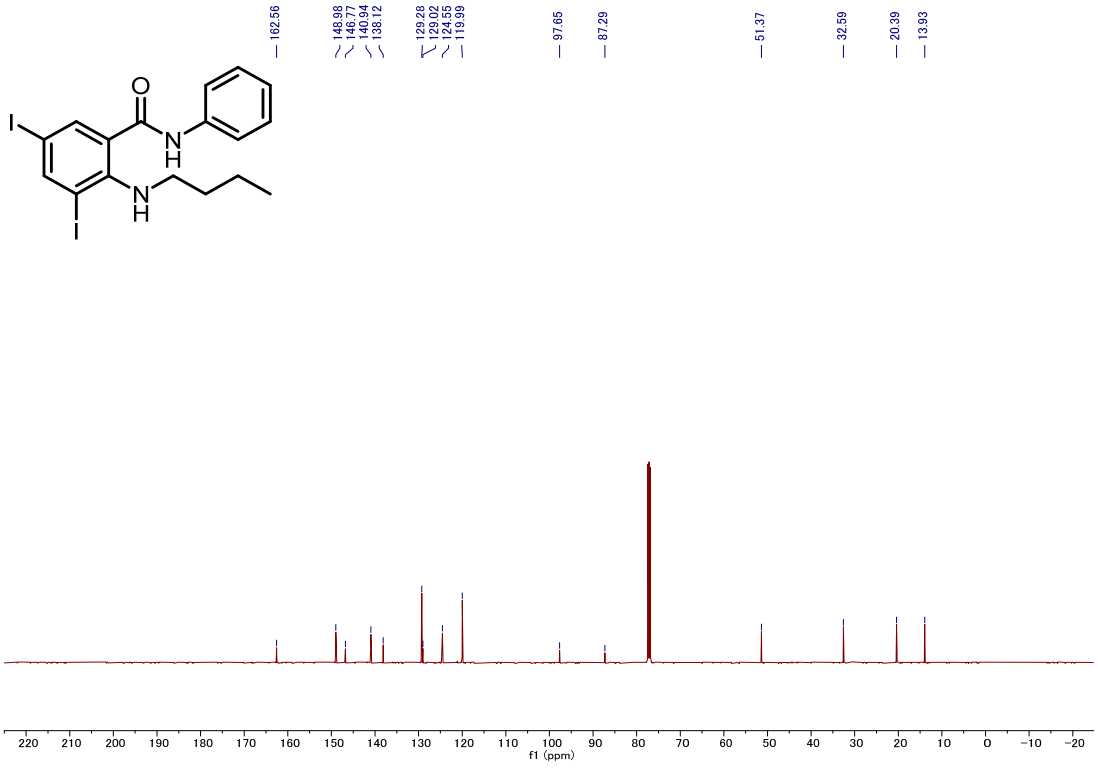
^{13}C NMR (**6h**)



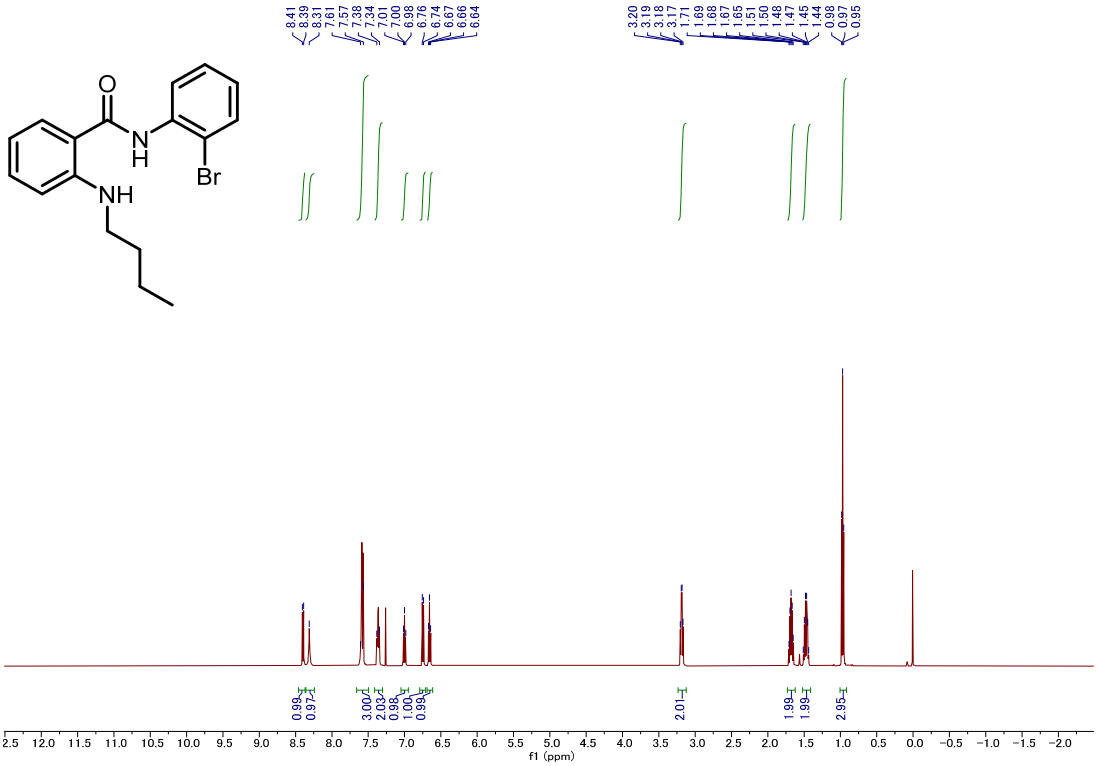
^{1}H NMR (**6i**)



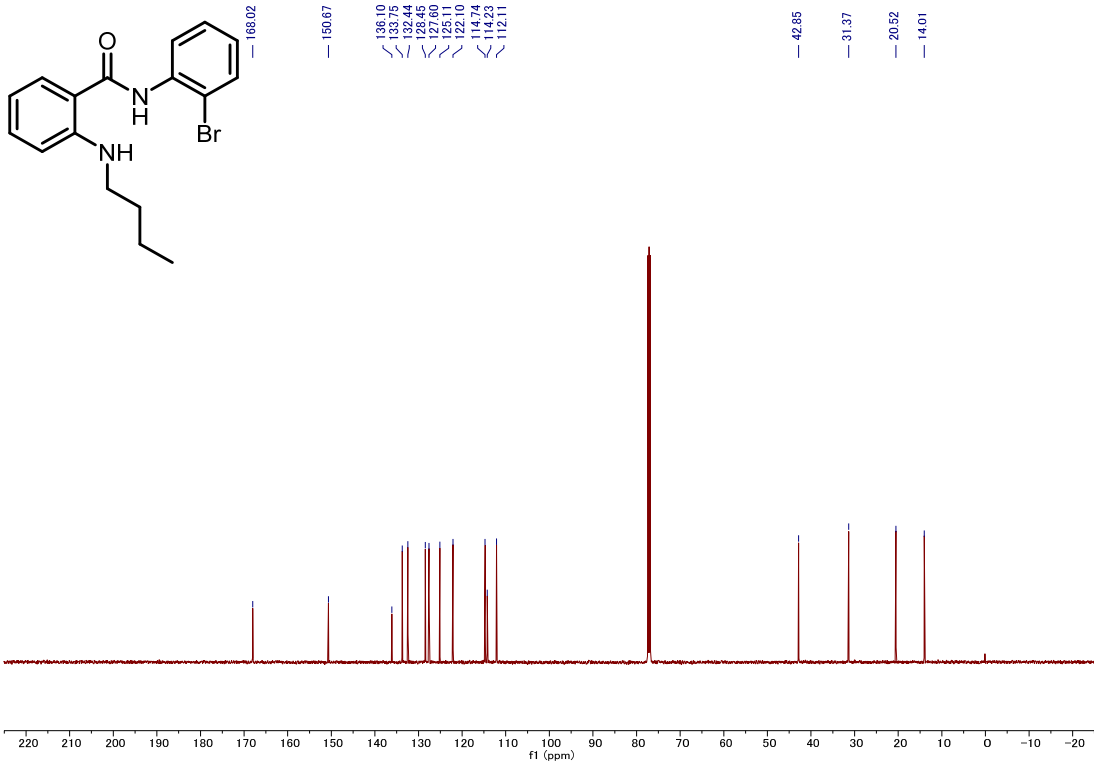
^{13}C NMR (**6i**)



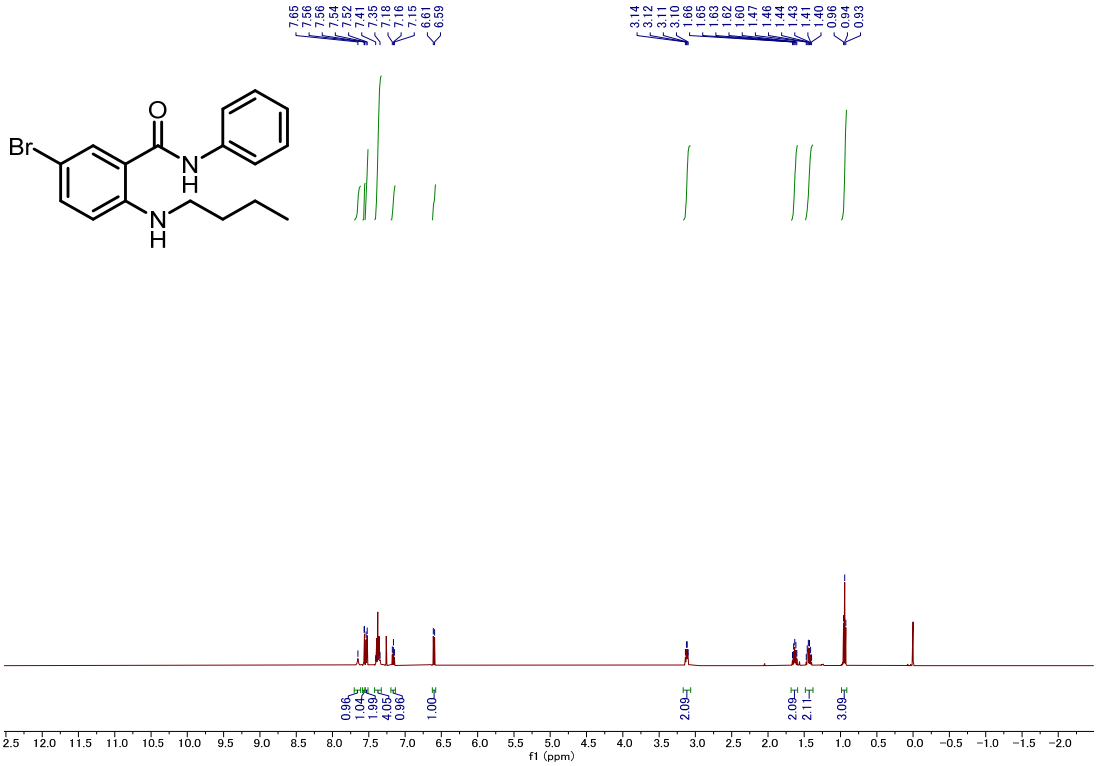
^{1}H NMR (**6j**)



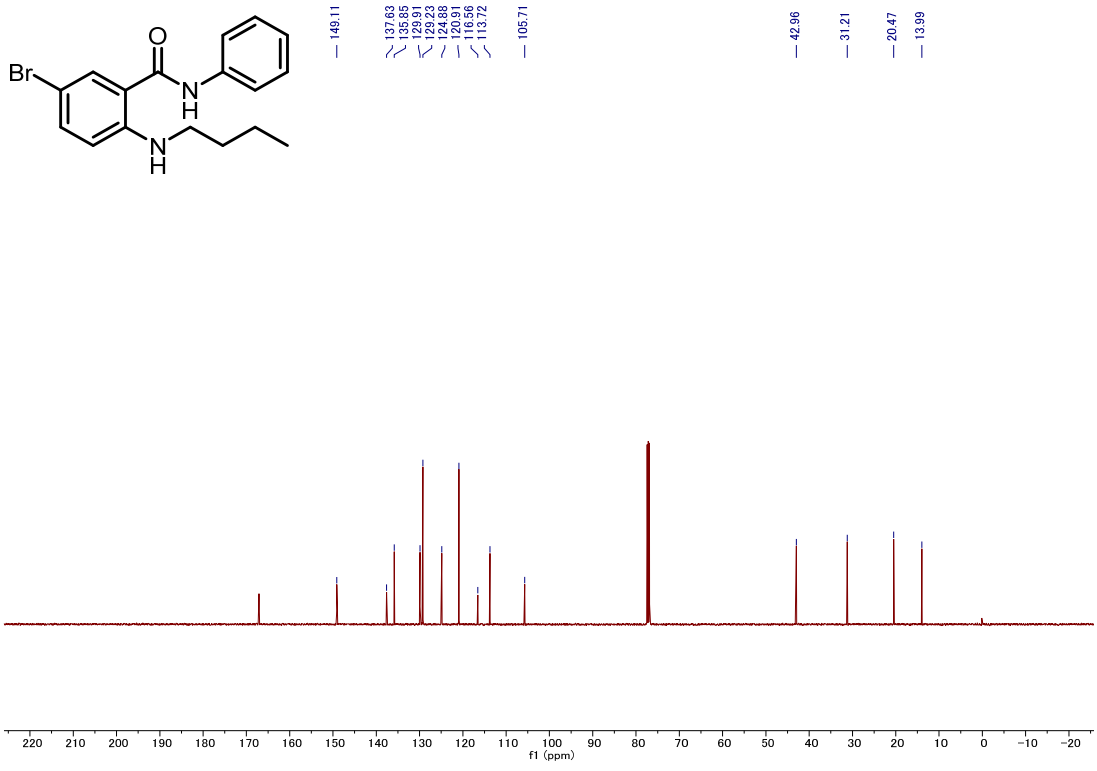
^{13}C NMR (**6j**)



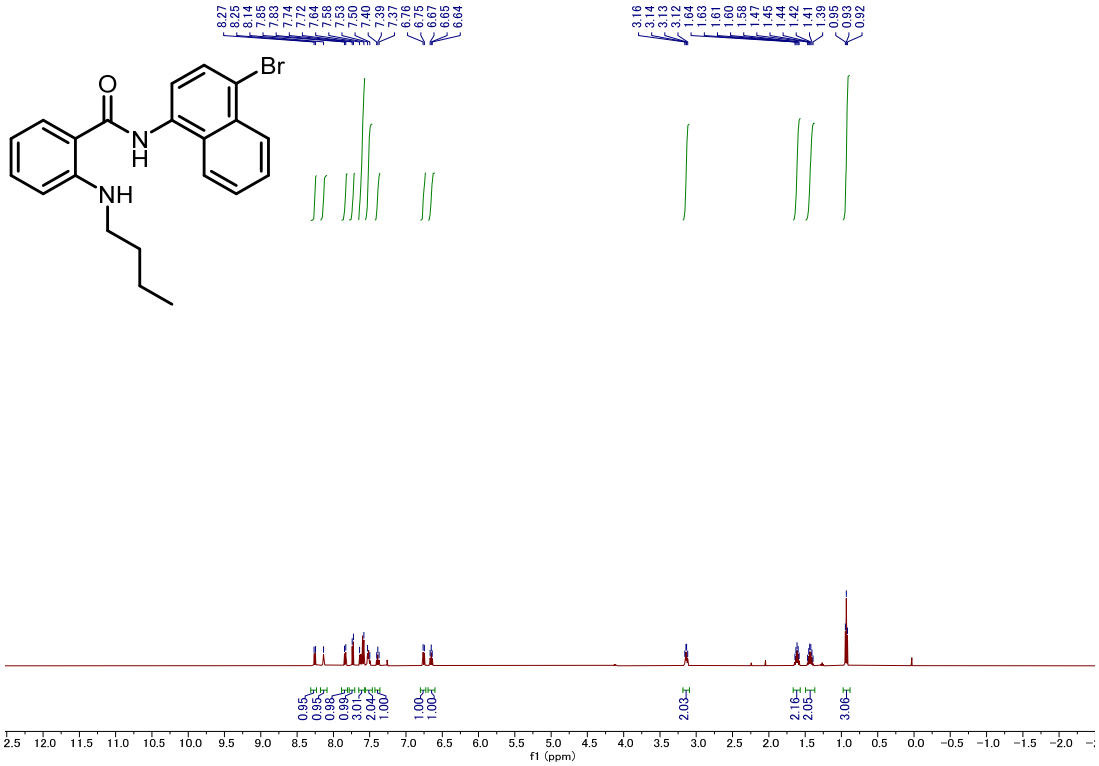
^{1}H NMR (**6k**)



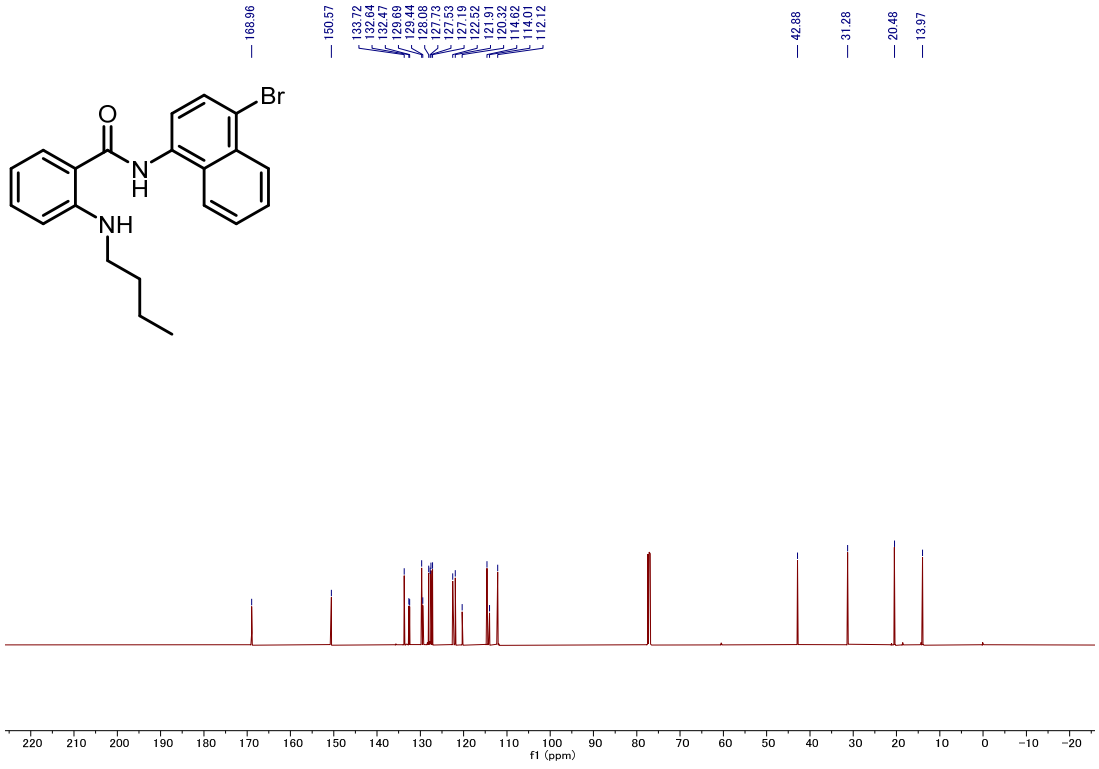
^{13}C NMR (**6k**)



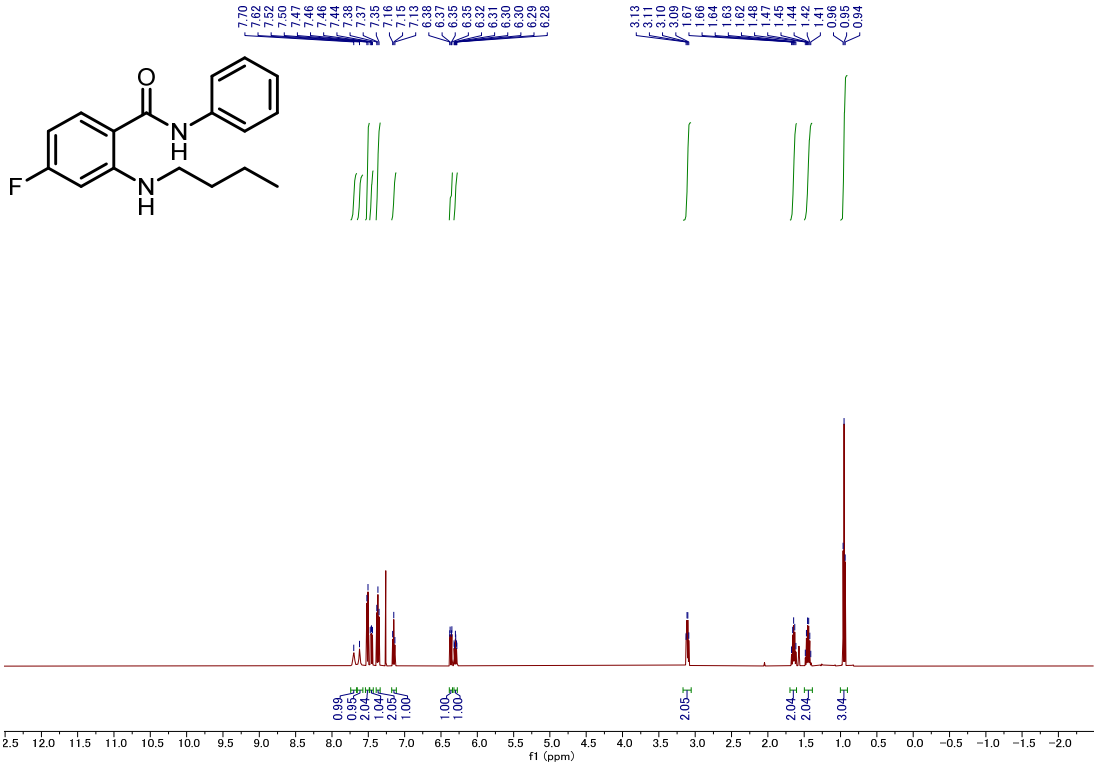
^{1}H NMR (**6l**)



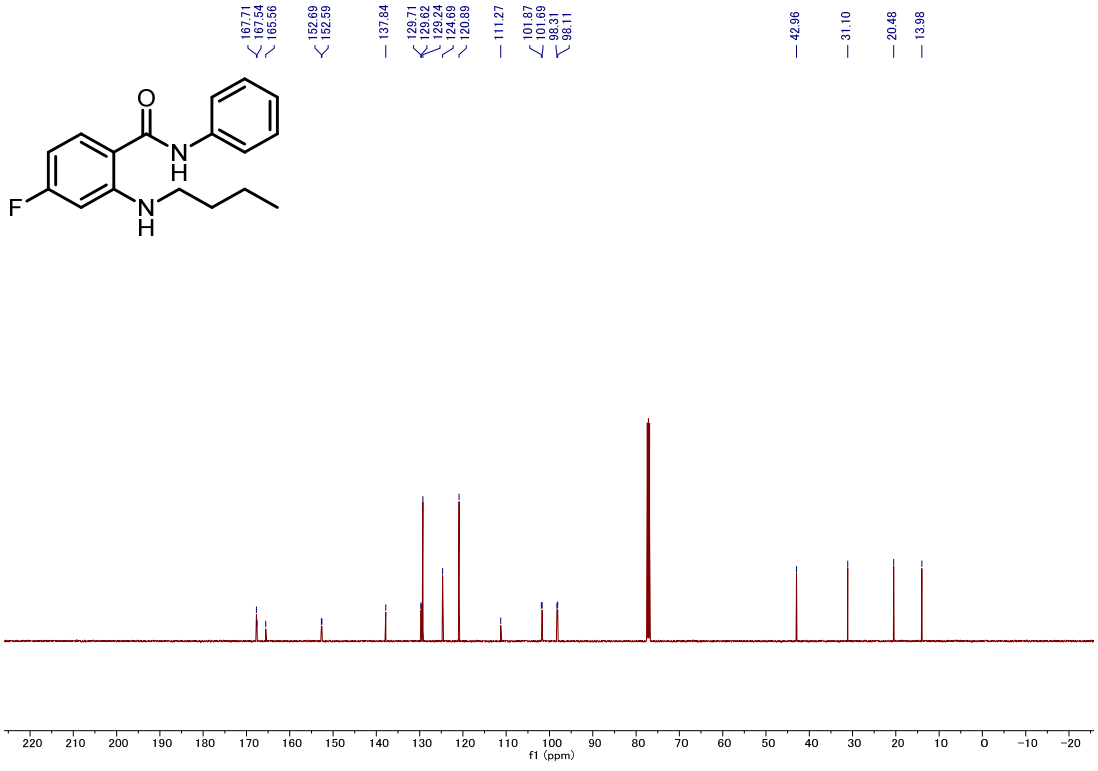
^{13}C NMR (**6l**)



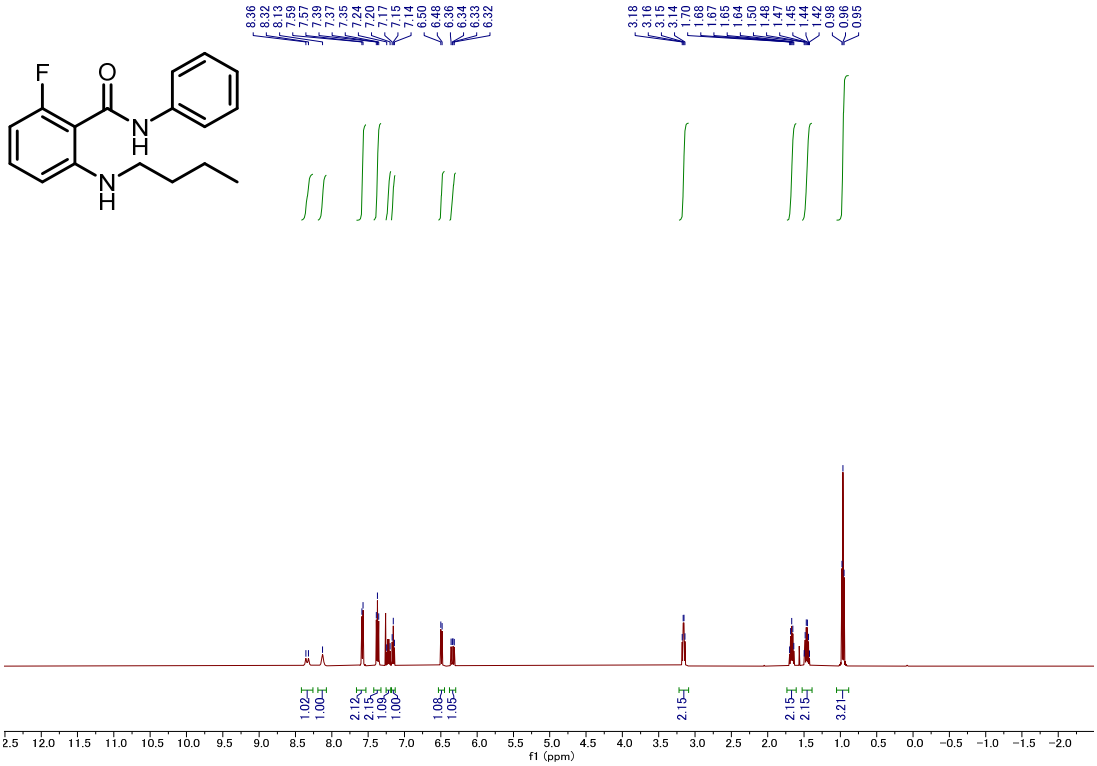
^{1}H NMR (**6m**)



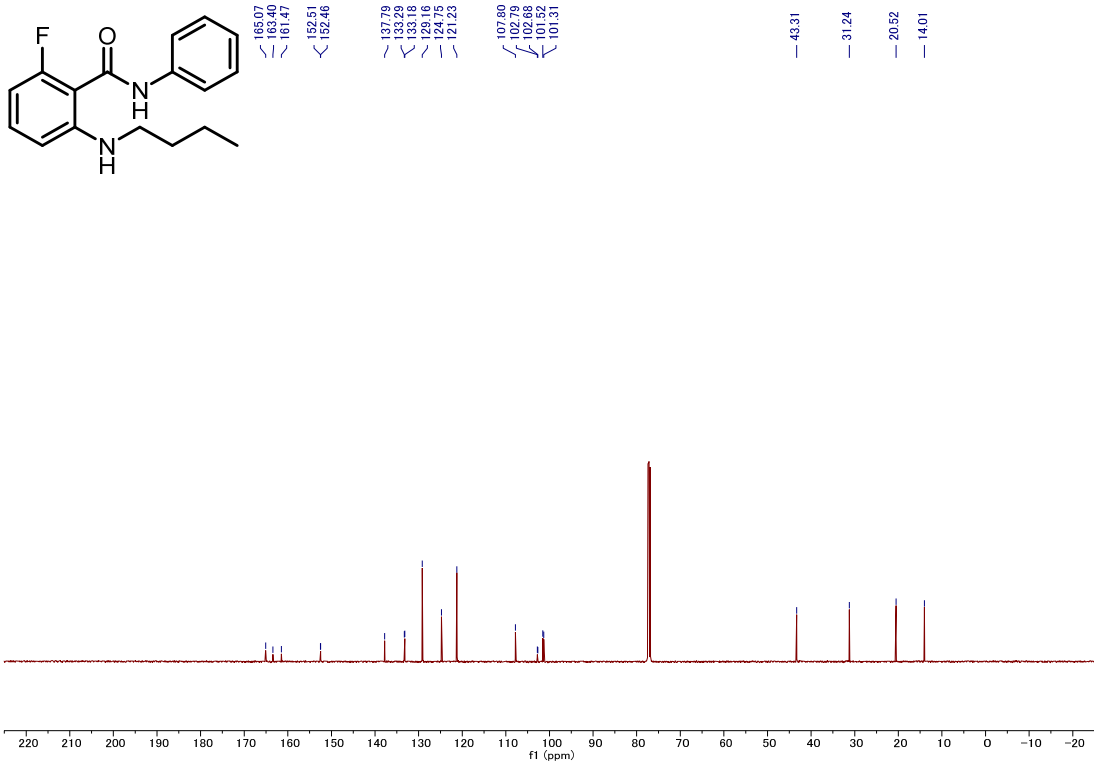
^{13}C NMR (**6m**)



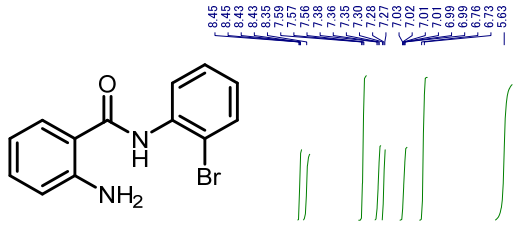
^{1}H NMR (**6n**)

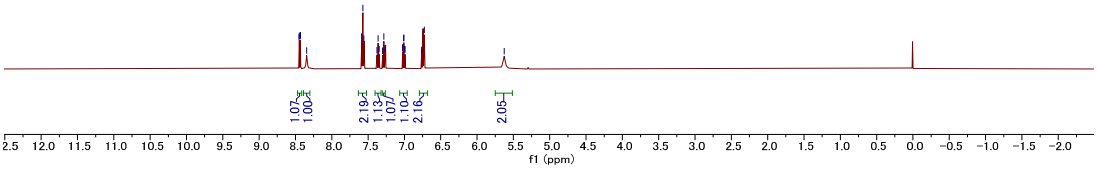


^{13}C NMR (**6n**)

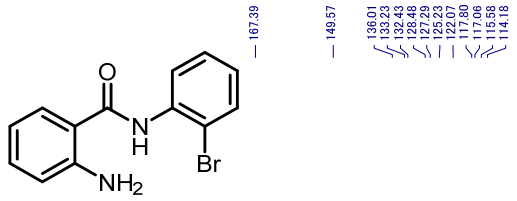


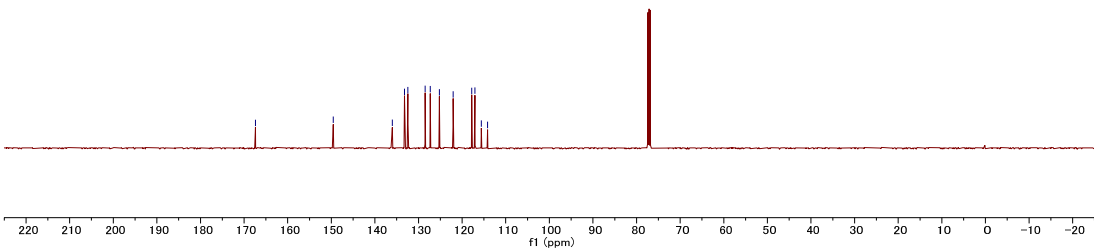
^{1}H NMR (**7**)



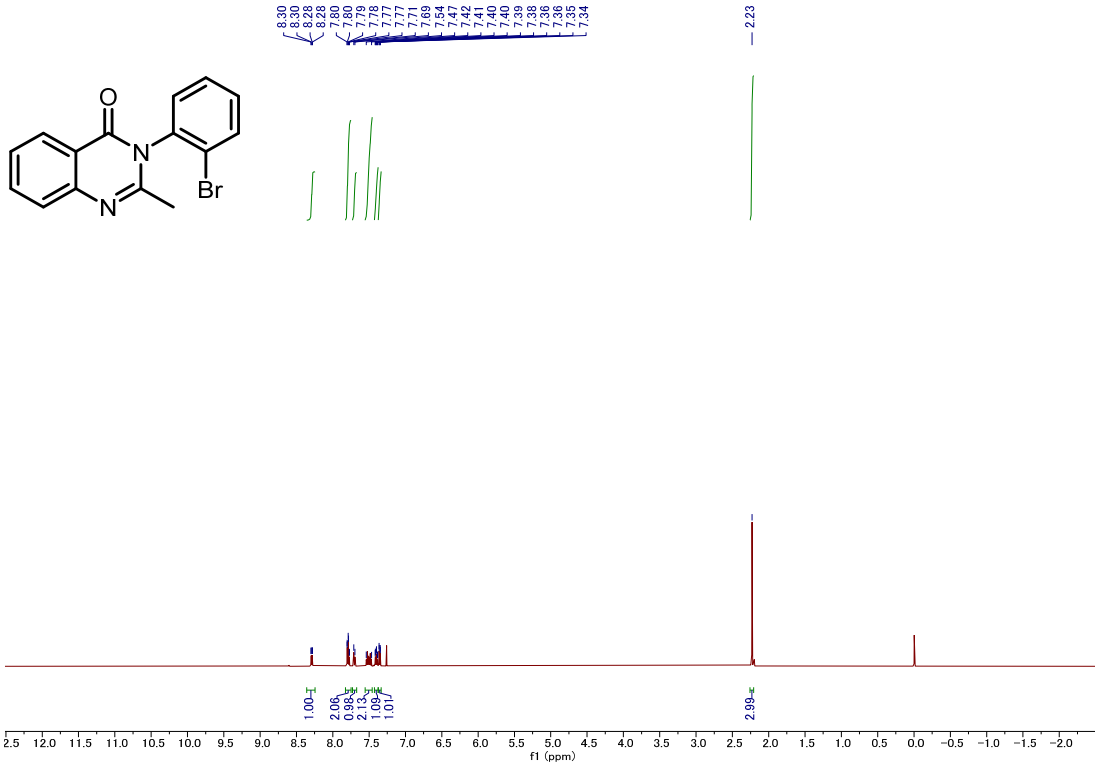


^{13}C NMR (**7**)





^{1}H NMR (**9**)



^{13}C NMR (**9**)