Supplementary Information

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Palladium (II)-catalysed ortho-arylation of N-benzylpiperidines

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1. General Experimental

1.1 Solvents and reagents

All reagents purchased from commercial sources were used as received. Organic solvents were evaporated under reduced pressure using a Büchi rotary evaporator. 1,4-Benzoquinone purchased from Alfa Aesar was purified *via* sublimation to obtain crystalline yellow solid. *t*-Amyl alcohol was purchased from Sigma Aldrich. All solvents were used directly as received from commercial suppliers. Petroleum ether (PE) refers to the 30-40 °C fraction of distilled light petroleum.

1.2 Chromatography

Thin layer chromatography (TLC) analyses were performed using Merck silica gel 60 F254 plates and visualised under UV light and/or stained with aqueous potassium permanganate solutions. Flash column chromatography (FCC) was carried out on Merck Kieselgel (230-400 mesh) and filtration over activated Brockmann I basic Al_2O_3 (~ 150 mesh).

1.3 Spectroscopy

All ^{1}H and ^{13}C NMR spectra were recorded using a Bruker 500 MHz and Bruker 400 MHz spectrometers against a residual solvent peak as an internal standard. Chemical shifts (δ) are given in parts per million (ppm), and coupling constants (*J*) are given in Hertz (Hz).

^{1}H NMR spectra are reported as follows: δ/ppm (multiplicity, coupling constant(s) *J*/Hz, number of protons, assignment). Multiplicity is abbreviated as follows: s = singlet, br s = broad singlet, d = doublet, dd = doublet of doublets, t = triplet, dt = doublet of triplets, q = quartet, dq = doublet of quartets, quin = quintet, sept = septet m = multiplet; "app" is used to denote the apparent splitting of a signal. ^{13}C NMR spectra are reported in δ/ppm. Two-dimensional NMR spectroscopy experiments (COSY, HSQC, HMBC) were used to assist in the assignment of signals in ^{1}H and ^{13}C NMR spectra.

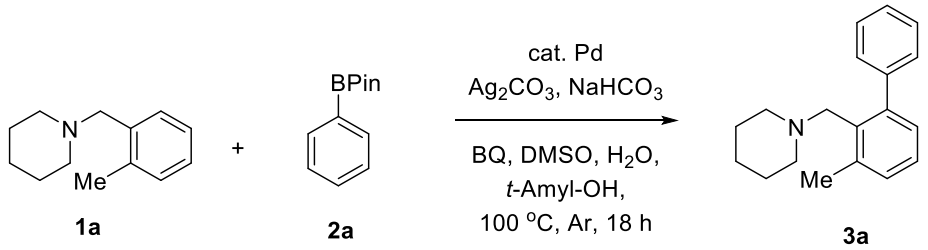
IR spectra were recorded on a Bruker Tensor 27 FT-IR spectrometer from a thin film or from a compressed sample of the solid, and only selected maximum absorbances (ν_{max}) of the most intense peaks are reported (cm^{-1}).

High resolution mass spectra were recorded on a Bruker MicroTof mass spectrometer (equipped with an ESI source unless otherwise stated) by the internal service at the Chemistry Research Laboratory, University of Oxford.

Melting points were recorded using a Leica Galen III hot-stage microscope apparatus and are reported uncorrected in degrees Celsius (°C).

2. Optimisation

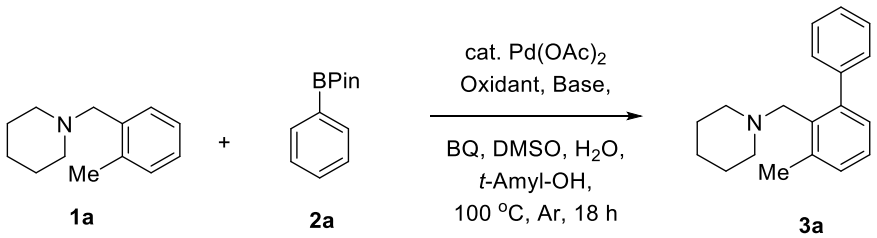
2.1. Catalyst



Entry	Catalyst	Loading (mol %)	Yield*(%)
1	$PdCl_2(CH_3CN)_2$	10	0
2	$PdCl_2$(dppf)	10	0
3	$PdCl_2(PPh_3)_2$	10	0
4	$Pd(TFA)_2$	10	44
5	$PdCl_2$	10	0
6	**$Pd(OAc)_2$**	**10**	**82**
7	$Pd(OAc)_2$	5	43

Reaction conditions: **1a** (0.2 mmol), **2a** (0.28 mmol), Pd catalyst, $NaHCO_3$ (3.0 equiv), Ag_2CO_3 (1.5 equiv), 1,4-benzoquinone (0.5 equiv), DMSO (5 µL), H_2O (20 µL) in *t*-amylOH (1 mL) for 18 h at 100 °C.
*^{1}H NMR yield with internal standard (CH_2Br_2).

2.2. Base & Oxidant

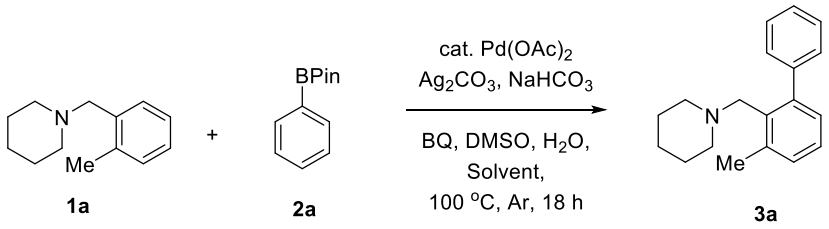


Entry	Oxidant (equiv)	Base (equiv)	Yield* (%)
1	Ag_2CO_3 (2.0)	Na_2CO_3 (6.0)	55
2	Ag_2CO_3 (2.0)	KF (6.0)	25
3	Ag_2CO_3 (2.0)	K_2HPO_4 (6.0)	33
4	Ag_2CO_3 (2.0)	NaOAc (6.0)	78

5	Ag_2CO_3 (2.0)	$NaHCO_3$ (6.0)	86
6	Ag_2CO_3 (2.0)	$NaHCO_3$ (3.0)	82
7	$Cu(OAc)_2$ (1.5)	$NaHCO_3$ (3.0)	11
8	CuF_2 (1.5)	$NaHCO_3$ (3.0)	2
9	**Ag_2CO_3 (1.5)**	**$NaHCO_3$ (4.0)**	**85 (77)**
10	Ag_2CO_3 (0.5)	$NaHCO_3$ (4.0)	38
11[a]	Ag_2CO_3 (0.5)	$NaHCO_3$ (4.0)	37
12[b]	Ag_2CO_3 (0.8)	$NaHCO_3$ (4.0)	52
13	**Ag_2CO_3 (2.0)**	**$NaHCO_3$ (4.0)**	**88 (81)**
14	Ag_2O (2.0)	$NaHCO_3$ (4.0)	15
15	**AgOAc (2.0)**	**$NaHCO_3$ (4.0)**	**81(75)**
16	AgTFA (2.0)	$NaHCO_3$ (4.0)	Traces
17	AgOAc (2.0)	NaOAc (4.0)	71(64)

Reaction conditions: **1a** (0.2 mmol), **2a** (0.28 mmol), $Pd(OAc)_2$ (10 mol%), Base, Oxidant, 1,4-benzoquinone (0.5 equiv), DMSO (5 μL), H_2O (20 μL) in *t*-amyl-OH (1 mL) for 18 h at 100 °C.
*^{1}H NMR yield with internal standard (CH_2Br_2), isolated yield in parentheses.
[a] Reaction was carried out under O_2 atmosphere [b] Reaction was carried out using 1,4-benzoquinone (1.0 equiv)

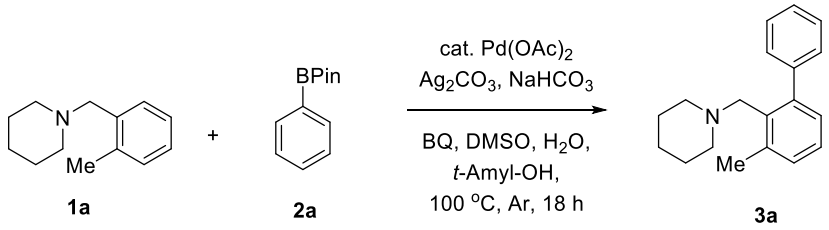
2.3. Solvent



Entry	Solvent	Yield*(%)
1	1,2-DCE	14
2	Toluene	11
3	1,4-Dioxane	74
4	MeCN	56
5	PhCl	11
6	***t*-Amyl-OH**	**88 (81)**

Reaction conditions: **1a** (0.2 mmol), **2a** (0.28 mmol), $Pd(OAc)_2$ (10 mol%), $NaHCO_3$ (4.0 equiv), Ag_2CO_3 (2.0 equiv), 1,4-benzoquinone (0.5 equiv), DMSO (5μL), H_2O (20 μL), solvent (1 mL) for 18 h at 100 °C.
*^{1}H NMR yield with internal standard (CH_2Br_2), isolated yield in parentheses.

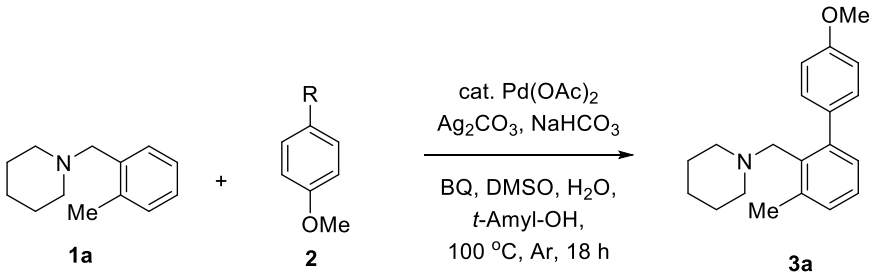
2.4. Control Experiments

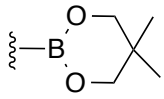


Entry	Oxidant	Base	Additive	Yield*(%)
1	-	$NaHCO_3$	1,4-benzoquinone	9
2	Ag_2CO_3	-	1,4-benzoquinone	29
3	Ag_2CO_3	$NaHCO_3$	-	0
4[a]	Ag_2CO_3	$NaHCO_3$	1,4-benzoquinone	49
5[b]	Ag_2CO_3	$NaHCO_3$	1,4-benzoquinone	54

Reaction conditions: **1a** (0.2 mmol), **2a** (0.28 mmol), $Pd(OAc)_2$ (10 mol%), $NaHCO_3$ (3.0 equiv), Ag_2CO_3 (1.5 equiv), 1,4-benzoquinone (0.5 equiv), DMSO (5 μL), H_2O (20 μL) in *t*-amyl-OH (1 mL) for 18 h at 100 °C.
*^{1}H NMR yield with internal standard (CH_2Br_2). [a] Reaction was carried out in the absence of H_2O. [b] Reaction was carried out in the absence of DMSO.

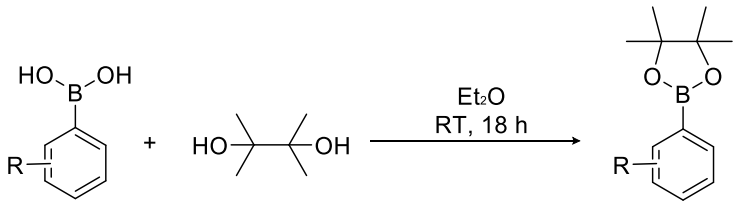
2.5. Coupling Reagent



Entry	R	Yield*(%)
1	$B(OH)_2$	20
2		54
3	BPin	66

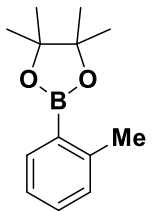
Reaction conditions: **1a** (0.2 mmol), **2a** (0.28 mmol), $Pd(OAc)_2$ (10 mol%), $NaHCO_3$ (4.0 equiv), Ag_2CO_3 (2.0 equiv), 1,4-benzoquinone (0.5 equiv), DMSO (5 μL), H_2O (20 μL) in *t*-amyl-OH (1 mL) for 18 h at 100 °C.
*^{1}H NMR yield with internal standard (CH_2Br_2).

3. General Procedure A: Synthesis of Arylboronic Acid Pinacol Esters, 2



To a solution of pinacol (6 mmol. 1.2 equiv) in Et_2O (10 ml), the arylboronic acid (5 mmol, 1 equiv) was added and the solution stirred at room temperature overnight. The reaction mixture was concentrated under reduced pressure and then purified by flash column chromatography.

2a: 2-Methylphenylboronic acid pinacol ester

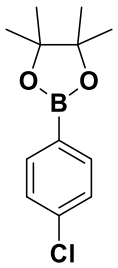


Prepared according to general procedure A, using 2-methylphenylboronic acid (0.68 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5) to afford the title compound as a white solid in 80% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.77 (dd, *J*=7.5, 1.5 Hz, 1H), 7.32 (td, *J*=7.5, 1.5 Hz, 1H), 7.13 - 7.22 (m, 2H), 2.55 (s, 3H), 1.35 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 144.8, 135.8, 130.7, 129.7, 124.6, 83.3, 24.8, 22.2.

Analytical data are in accordance with those previously reported for this compound.[2,6,7]

2b: 4-Chlorophenylboronic acid pinacol ester

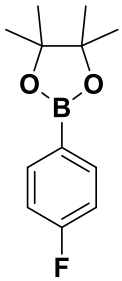


Prepared according to general procedure A, using 4-chlorophenylboronic acid (0.78 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5) to afford the title compound as a white solid in 84% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.73 (d, *J*=8.2 Hz, H), 7.34 (d, *J*=8.2 Hz, 2H), 1.34 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 137.5, 136.1, 128.0, 84.0, 24.8.

Analytical data are in accordance with those previously reported for this compound.[2,7]

2c: 4-Fluorophenylboronic acid pincol ester

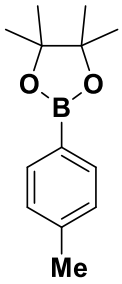


Prepared according to general procedure A, using 4-chlorophenylboronic acid (0.70 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5) to afford the title compound as a colourless oil in 87% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.80 (dd, *J* = 8.5, 6.3 Hz, 2H), 7.05 (t, *J* = 8.9 Hz, 2H), 1.34 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 165.1 (d, *J* = 250.3 Hz), 137.0 (d, *J* = 8.2 Hz), 114.8 (d, *J* = 20.2 Hz), 83.9, 24.8.

Analytical data are in accordance with those previously reported for this compound.[7]

2d: 4-Methylphenylboronic acid pinacol ester

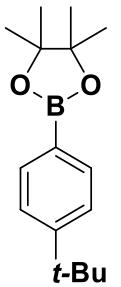


Prepared according to general procedure A, using 4-methylphenylboronic acid (1.36 g, 10.0 mmol) and pinacol (1.42 g, 12.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5) to afford the title compound as a white solid in 93% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.72 (d, *J* = 7.9 Hz, 2H), 7.20 (d, *J* = 7.6 Hz, 2H), 2.37 (s, 3H), 1.35 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 141.4, 134.8, 128.5, 83.6, 24.8, 21.7.

Analytical data are in accordance with those previously reported for this compound.[2, 3, 6, 7]

2e: 4-*t*-Butylphenylboronic acid pinacol ester

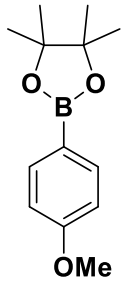


Prepared according to general procedure A, using 4-*t*-butylphenylboronic acid (0.89 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5 to 9/1) to afford the title compound as a white solid in 97% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.78 (d, *J*=8.2 Hz, 2H), 7.42 (d, *J*=8.2 Hz, 2H), 1.34 (s, 9H), 1.35 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 154.5, 134.7, 124.7, 83.6, 34.9, 31.2, 24.8.

Analytical data are in accordance with those previously reported for this compound.[4,5]

2f: 4-Methoxyphenylboronic acid pinacol ester

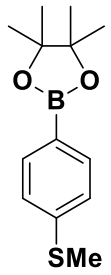


Prepared according to general procedure A, using 4-methoxyphenylboronic acid (1.52 g, 10.0 mmol) and pinacol (1.42 g, 12.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 9/1 to 6/1) to afford the title compound as a white solid in 93% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.76 (d, *J* = 8.6 Hz, 2H), 6.90 (d, *J* = 8.6 Hz, 2H), 3.83 (s, 3H), 1.34 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 162.1, 136.5, 113.3, 83.5, 55.1, 24.8.

Analytical data are in accordance with those previously reported for this compound.[2,3,4,5,6]

2g: 4-Methylthiophenylboronic acid pinacol ester

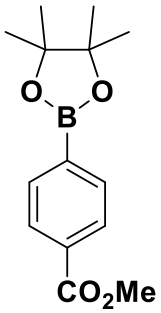


Prepared according to general procedure A, using 4-Methylthiophenylboronic acid pinacol ester (0.50g, 3.0 mmol) and pinacol (0.43 g, 3.6 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5 to 9/1) to afford the title compound as a white solid in 84% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.72 (d, *J*=8.4 Hz, 2H,), 7.23 (d, *J*=8.4 Hz, 2H), 2.48 (s, 3H), 1.35 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 142.7, 135.2, 125.0, 83.8, 24.9, 15.1.

Analytical data are in accordance with those previously reported for this compound.[4,7]

2h: 4-Methoxycarbonylphenylboronic acid pinacol ester

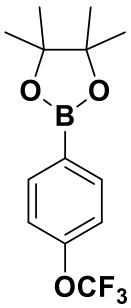


Prepared according to general procedure A, using 4-methoxycarbonylphenylboronic acid (0.90 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 9/1 to 3/1) to afford the title compound as a white solid in 92% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.01 (d, *J*=8.1 Hz, 2H), 7.86 (d, *J*=8.1 Hz, 2H), 3.91 (s, 3H), 1.35 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 167.3, 134.8, 132.4, 128.7, 84.3, 52.3, 25.0.

Analytical data are in accordance with those previously reported for this compound.[3,5]

2i: 4-Trifluoromethoxyphenylboronic acid pinacol ester

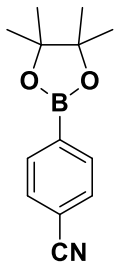


Prepared according to general procedure A, using 4-trifluoromethoxyphenylboronic acid (1.03 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 9/1) to afford the title compound as a white solid in 91% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.84 (d, *J*=8.4 Hz, 2H), 7.20 (d, *J*=8.4 Hz, 2H), 1.34 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 151.7, 136.5, 120.4 (q, $J_{C\text{-}F}$ =257.5 Hz), 119.9, 84.1, 24.8.

Analytical data are in accordance with those previously reported for this compound.[4]

2j: 4-Cyanophenylboronic acid pinacol ester

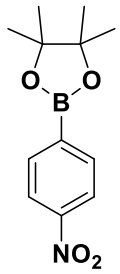


Prepared according to general procedure A, using 4-cyanophenylboronic acid (0.74 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5 to 9/1) to afford the title compound as a white solid in 73% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88 (d, *J*=8.1 Hz, 2H), 7.63 (d, *J*=8.1 Hz, 2H), 1.35 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 135.1, 131.1, 118.8, 114.5, 84.5, 24.8.

Analytical data are in accordance with those previously reported for this compound.[2,3,4,7,8]

2k: 4-Nitrophenylboronic acid pinacol ester

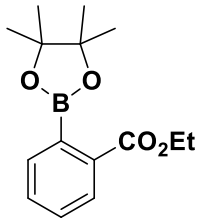


Prepared according to general procedure A, using 4-nitrophenylboronic acid (0.84 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 4/1 to 1/2) to afford the title compound as a white solid in 78% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.19 (d, *J*=8.8 Hz, 2H), 7.96 (d, *J*=8.8 Hz, 2H), 1.36 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 150.0, 135.8, 122.6, 84.8, 25.0.

Analytical data are in accordance with those previously reported for this compound.[2,3]

2l: 2-Ethoxycarbonylphenylboronic acid pinacol ester

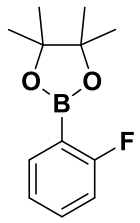


Prepared according to general procedure A, using 2-ethoxycarbonylphenylboronic acid (0.97 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 12/1) to afford the title compound as a white solid in 79% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.46 (s, 1H), 8.12 (d, *J*=7.9 Hz, 1H), 7.97 (d, *J*=7.9 Hz, 1H), 7.43 (t, *J*=7.6 Hz, 1H), 4.37 (q, *J*=7.2 Hz, 2H), 1.39 (t, *J*=7.2 Hz, 3H), 1.34 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 166.6, 139.0, 135.7, 132.2, 129.8, 127.7, 84.0, 60.9, 24.8, 14.3.

Analytical data are in accordance with those previously reported for this compound.[5]

2m: 2-Fluorophenylboronic acid pinacol ester

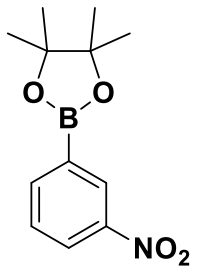


Prepared according to general procedure A, using 2-fluorophenylboronic acid (0.70 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol).The reaction mixture was purified by FCC (PE/EtOAc = 97/3 to 9/1) to afford the title compound as a colourless oil in 82% yield.

^{1}H NMR (400 MHz, $CDCl_3$): 7.78 - 7.71 (m, 1H), 7.47 - 7.38 (m, 1H), 7.13 (t, *J*=7.3 Hz, 1H), 7.02 (t, *J*=8.9 Hz, 1H), 1.36 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 167.3 (d, $J_{C\text{-}F}$=251.0 Hz), 137.0 (d, $J_{C\text{-}F}$= 8.0 Hz), 133.4 (d, $J_{C\text{-}F}$= 8.7 Hz), 123.7 (d, $J_{C\text{-}F}$= 3.2 Hz) , 115.4 (d, $J_{C\text{-}F}$= 23.8 Hz), 84.0, 24.9.

Analytical data are in accordance with those previously reported for this compound.[7]

2o: 3-Nitrophenylboronic acid pinacol ester

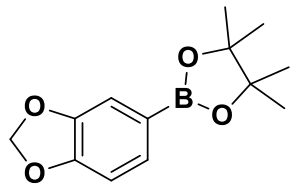


Prepared according to general procedure A, using 3-nitrophenylboronic acid (0.84 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by washing the crude solid three times using 10ml of petroleum ether. The ethereal solution was left overnight and a second yield of pale yellow crystals was obtained with an overall yield of 60%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.63 (d, *J*=1.5 Hz, 1H), 8.28 (dd, *J*=8.2, 1.2 Hz, 1H), 8.09 (d, *J*=7.9 Hz, 1H), 7.53 (t, *J*=7.9 Hz, 1H), 1.36 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 147.8, 140.6, 129.4, 128.7, 125.8, 84.6, 24.8.

Analytical data are in accordance with those previously reported for this compound.[6]

2p: 3,4-Methylenedioxyphenylboronic acid pinacol ester

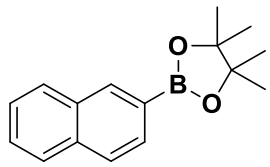


Prepared according to general procedure A, using 3,4-methylenedioxyphenylboronic acid (0.50 g, 3.0 mmol) and pinacol (0.43 g, 3.6 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 9/1 to 4/1) to afford the title compound as a very pale yellow oil in 97% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.36 (dd, *J*=7.8, 1.1 Hz, 1H), 7.24 (d, *J*=1.1 Hz, 1H), 6.83 (d, *J*=7.8 Hz, 1H), 5.94 (s, 2H), l.33 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 150.3, 147.3, 129.8, 114.0, 108.4, 100.8, 83.8, 24.9.

Analytical data are in accordance with those previously reported for this compound.[4,7]

2q: 2-Naphthylboronic acid pinacol ester

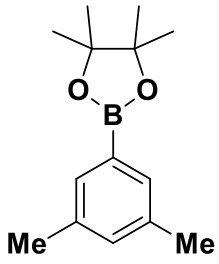


Prepared according to general procedure A, using 2-naphthylboronic acid (0.86 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 9/1) to afford the title compound as a white solid in 92% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.39 (s, 1H), 7.90 (d, *J*=7.6 Hz, 1H), 7.82 - 7.88 (m, 3H), 7.45 - 7.55 (m, 2H), 1.41 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 136.4, 135.2, 132.9, 130.5, 128.8, 127.8, 127.1, 125.9, 84.1, 25.1.

Analytical data are in accordance with those previously reported for this compound.[7]

2r: 3,5-Dimethylphenylboronic acid pinacol ester

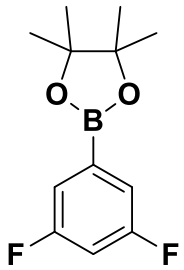


Prepared according to general procedure A, using 3,5-dimethylphenylboronic acid (0.75 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5) to afford the title compound as a white solid in 77% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.37 (s, 2H), 7.03 (s, 1H), 2.24 (s, 6H), 1.27 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 137.1, 133.0, 132.4, 83.7, 24.8, 21.1.

Analytical data are in accordance with those previously reported for this compound.[4]

2s: 3,5-Difluorophenylboronic acid pinacol ester

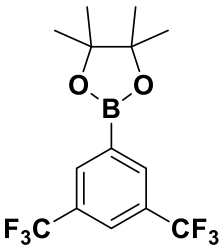


Prepared according to general procedure A, using 3,5-difluorophenylboronic acid (0.79 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5) to afford the title compound as a white solid in 64% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.28 (dt, *J*=5.9, 2.2 Hz, 2H), 6.87 (tt, *J*=9.0, 2.4 Hz, 1H), 1.34 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 162.7 (dd, J_{C-F} =248.8, 10.3 Hz), 116.2 - 117.2 (m), 106.45 (t, J_{C-F} =24.6 Hz), 84.4, 24.8.

Analytical data are in accordance with those previously reported for this compound.[7,8]

2t: 3,5-Di(trifluoromethyl)phenylboronic acid pinacol ester

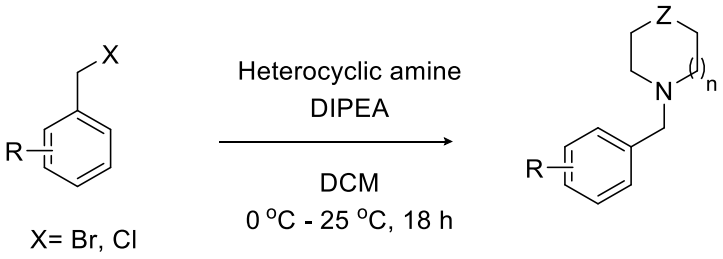


Prepared according to general procedure A, using 3,5-bis(trifluoromethyl)phenylboronic acid (1.29 g, 5.0 mmol) and pinacol (0.71 g, 6.0 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 97/3) to afford the title compound as a white solid in 64% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.24 (s, 2H), 7.94 (s, 1H), 1.37 (s, 12H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 134.6, 130.89 (q, J_{C-F} =33.0 Hz), 124.6 - 124.8 (m), 123.5 (q, J_{C-F} =273.0 Hz), 84.8, 24.8.

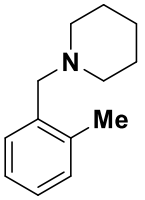
Analytical data are in accordance with those previously reported for this compound.[4]

4. General Procedure B: Synthesis of Benzylic Heterocycles, 1&5[16]



To a solution of heterocyclic amines (1 equiv) and DIPEA (1.5 equiv) in DCM (25 mL) at 0 °C, benzyl bromide (1.1 equiv) was added dropwise. After the addition was complete, the solution was left to stir at room temperature for 18 h. The mixture was then washed with distilled water and brine. The organic layer was dried over Na_2CO_3, concentrated under reduced pressure and then purified by flash column chromatography.

1a: 1-(2-Methylbenzyl)piperidine

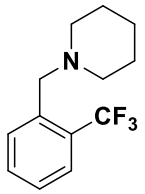


Prepared according to general procedure B, using 2-methylbenzyl bromide (4.42 mL, 33 mmol) and piperidine (2.96 mL, 30 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5) to afford the title compound as a pale yellow oil in 73% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.35- 7.33 (m, 1H), 7.21 – 7.19 (m, 3H), 3.46 (s, 2H), 2.49 – 2.36 (m., 6H), 1.66 – 1.58 (m., 4 H), 1.54 – 1.44 (m, 3 H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 137.4, 137.1, 130.0, 129.6, 126.6, 125.3, 61.5, 54.7, 26.1, 24.5, 19.2.

Analytical data are in accordance with those previously reported for this compound.[9]

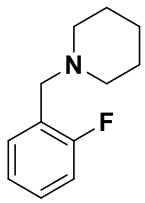
1b: 1-(2-Trifluoromethylbenzyl)piperidine



Prepared according to general procedure B, using 2-trifluoromethylbenzyl bromide (2.63 g, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 15/1 to 9/1) to afford the title compound as a colourless oil in 79% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87 (d, *J*=7.6 Hz, 1H), 7.62 (d, *J*=7.9 Hz, 1H), 7.52 (t, *J*=7.6 Hz, 1H), 7.32 (t, *J*=7.6 Hz, 1H), 3.64 (s, 2H), 2.43 (br. s., 4H), 1.61 (quin, *J*=5.5 Hz, 4H), 1.48 (quin, *J*=5.5 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 138.7, 131.6, 130.1, 128.4 (q, J_{C-F} =29.4 Hz), 126.4, 125.5 125.5 (q, J_{C-F} =5.6 Hz), 124.6 (q, J_{C-F} =274.0 Hz), 58.9, 54.8, 26.1, 24.4. **^{19}F NMR** (377 MHz, $CDCl_3$): δ - 59.35. **HRMS** (ESI +): *m/z* calculated for $C_{13}H_{17}NF_3$, $[M+H]^+$ 244.1308; found 244.1305.

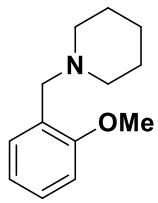
1c: 1-(2-Fluorobenzyl)piperidine



Prepared according to general procedure B, using 2-fluorobenzyl bromide (3.98 mL, 33 mmol) and piperidine (2.96 mL, 30 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 95/5) to afford the title compound as a pale yellow oil in 79% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.38 (td, *J*=7.5, 1.5 Hz, 1H), 7.22 (tdd, *J*=7.6, 5.5, 1.8 Hz, 1 H), 7.13 - 7.06 (m, 1H), 7.02 (t, *J*=9.1 Hz, 1H), 3.56 (s, 2H), 2.42 (br s, 4H), 1.58 (quin, *J*=5.6 Hz, 4H), 1.47 - 1.35 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.4 (d, J_{C-F} = 245.7 Hz), 131.6 (d, J_{C-F} = 4.7 Hz), 128.4 (d, J_{C-F} = 8.1 Hz), 125.1 (d, J_{C-F} = 14.6 Hz), 123.7 (d, J_{C-F} = 3.7 Hz), 115.1 (d, J_{C-F} = 22.5 Hz), 55.9 (d, J_{C-F} = 1.4 Hz), 54.2, 26.0, 24.2. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -117.86. **HRMS** (ES+): *m/z* calculated for $C_{12}H_{17}NF$, $[M+H]^+$ 193.1267; found 193.1271.

1d: 1-(2-Methoxybenzyl)piperidine

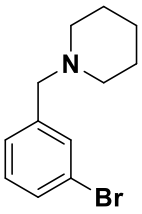


Prepared according to general procedure B, using 2-methoxybenzyl chloride (1.53 mL, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 15/1 to 9/1) to afford the title compound as a colourless oil in 78% yield.

^{1}H NMR (400 MHz, $CDCl_3$): 7.37 (dd, *J* = 7.4, 1.7 Hz, 1H), 7.22 (td, *J* = 8.0, 1.8 Hz, 1H), 6.93 (td, *J* = 7.4, 1.0 Hz, 1H), 6.86 (d, *J* = 8.2 Hz, 1H), 3.82 (s, 3H), 3.54 (s, 2H), 2.44 (br s, 4H), 1.59 (dt, *J* = 11.1, 5.6 Hz, 4H), 1.48 – 1.36 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 157.8, 130.5, 127.7, 126.7, 120.2, 110.3, 56.7, 55.4, 54.5, 26.0, 24.4.

Analytical data are in accordance with those previously reported for this compound.[10]

1e: 1-(3-Bromobenzyl)piperidine

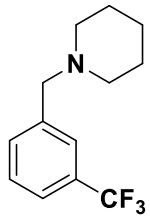


Prepared according to general procedure B, using 3-bromobenzyl bromide (2.73 g, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 9/1 to 1/1) to afford the title compound as a yellow oil in 81% yield.

^{1}H NMR (400 MHz, $CDCl_3$): 7.48 (s, 1H), 7.36 (d, *J* = 7.8 Hz, 1H), 7.24 (d, *J* = 7.7 Hz, 1H), 7.16 (t, *J* = 7.7 Hz, 1H), 3.42 (s, 2H), 2.36 (s, 4H), 1.57 (dt, *J* = 11.0, 5.6 Hz, 4H), 1.49 – 1.38 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 141.3, 131.9, 129.9, 129.6, 127.7, 122.3, 63.2, 54.5, 25.9, 24.3.

This compound was reported with a CAS Registry Number: 59507-40-3.

1f: 1-(3-Trifluoromethylbenzyl)piperidine

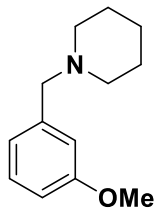


Prepared according to general procedure B, using 3-trifluoromethylbenzyl bromide (1.78 mL, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 15/1 to 9/1) to afford the title compound as a pale yellow oil in 79% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.62 (s, 1H), 7.53 (d, *J*=7.8 Hz, 1H), 7.49 (d, *J*=7.8 Hz, 1H), 7.40 (t, *J*=7.6 Hz, 1H), 3.50 (s, 2H), 2.38 (br s, 4H), 1.59 (quin, *J*=5.6 Hz, 4H), 1.51 - 1.36 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 140.1, 132.2, 130.5 (q, $J_{C\text{-}F}$ =31.8 Hz), 128.5, 125.5 (q, $J_{C\text{-}F}$ =3.7 Hz), 123.6 (q, $J_{C\text{-}F}$ =3.7 Hz), 124.3 (q, $J_{C\text{-}F}$ =271.8 Hz), 63.2, 54.5, 25.9, 24.3.

Analytical data are in accordance with those previously reported for this compound.[11]

1g: 1-(3-Methoxybenzyl)piperidine

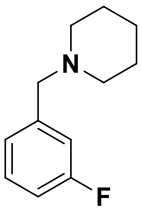


Prepared according to general procedure B, using 3-methoxybenzyl bromide (1.54 mL, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 9/1 to 1/1) to afford the title compound as a yellow oil in 81% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.22 (t, *J*=8.0 Hz, 1H), 6.96 - 6.86 (m, 2H), 6.79 (dd, *J*=7.9, 2.3 Hz, 1H), 3.81 (s, 3H), 3.45 (s, 2H), 2.38 (br s, 4 H), 1.58 (quin, *J*=5.6 Hz, 4H), 1.43 (quin, *J*=5.1 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 140.3, 129.0, 121.5, 114.6, 112.2, 63.8, 55.1, 54.5, 25.9, 24.3.

Analytical data are in accordance with those previously reported for this compound.[12]

1h: 1-(3-Fluorobenzyl)piperidine



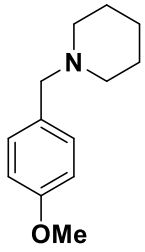
Prepared according to general procedure B, using 3-fluorobenzyl bromide (1.35 mL, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 15/1) to afford the title compound as a pale yellow oil in 76% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.30 - 7.20 (m, 1H), 7.12 - 7.03 (m, 2 H), 6.92 (td, *J*=8.5, 1.8 Hz, 1H), 3.45 (s, 2H), 2.37 (br s, 4H), 1.58 (quin, *J*=5.6 Hz, 4H), 1.43 (quin, *J*=5.6 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 163.0 (d, $J_{C\text{-}F}$ =245.0 Hz), 141.6 (d, $J_{C\text{-}}$

$_F$ =6.6 Hz), 129.5 (d, J_{C-F} =8.1 Hz), 124.6 (d, J_{C-F} =2.9 Hz), 115.8 (d, J_{C-F} =20.5 Hz), 113.8 (d, J_{C-F} =20.5 Hz), 63.3 (d, J_{C-F} =1.5 Hz), 54.6, 26.0, 24.4.

Analytical data are in accordance with those previously reported for this compound.[11]

1i: 1-(4-Methoxybenzyl)piperidine

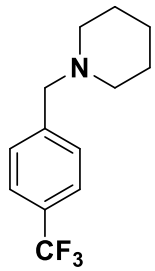


Prepared according to general procedure B, using 4-methoxybenzyl chloride (1.53 mL, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 15/1 to 9/1) to afford the title compound as a colourless oil in 70% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.22 (d, J = 8.7 Hz, 2H), 6.85 (d, J = 8.7 Hz, 2H), 3.80 (s, 3H), 3.41 (s, 2H), 2.35 (br s, 4H), 1.56 (dt, J = 11.0, 5.6 Hz, 4H), 1.47 – 1.30 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.5, 130.5, 130.4, 113.4, 63.2, 55.2, 54.3, 26.0, 24.4.

Analytical data are in accordance with those previously reported for this compound.[11,13]

1j: 1-(4-Trifluoromethylbenzyl)piperidine

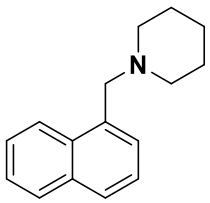


Prepared according to general procedure B, using 4-trifluoromethylbenzyl bromide (1.70 mL, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 9/1 to 1/1) to afford the title compound as a yellow oil in 78% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.56 (d, J=7.9 Hz, 2H), 7.44 (d, J=7.9 Hz, 2H), 3.51 (s, 2H), 2.37 (br s, 4H), 1.58 (quin, J=5.6 Hz, 4H), 1.44 (quin, J=5.6 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 143.5, 129.2, 129.2 (q, J_{C-F} =32.0 Hz), 125.11 (q, J_{C-F} =3.2 Hz), 124.5 (q, J_{C-F} =271.8 Hz), 63.4, 54.7, 26.1, 24.4.

Analytical data are in accordance with those previously reported for this compound.[13]

1k: 1-(Naphthalen-1-ylmethyl)piperidine



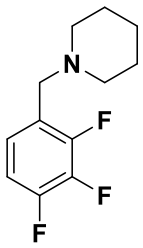
Prepared according to general procedure B, using 1-(chloromethyl)naphthalene (1.65 mL, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (PE/EtOAc = 10/0 to 9/1) to afford the title compound as a yellow oil in 71% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.45 (d, J=8.4 Hz, 1H), 7.95 (dd, J=7.9, 1.5 Hz, 1 H), 7.87 (d, J=7.9 Hz, 1H), 7.47 - 7.66 (m, 4H), 3.97 (s, 2H), 2.57 (br s, 4H), 1.68 (quin, J=5.6 Hz, 4H), 1.56 (quin, J=5.6 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 134.8, 133.9, 132.7, 128.4, 127.7, 127.2, 125.7, 125.6, 125.2, 124.9, 61.9, 54.9, 26.2, 24.6.

This compound was reported with a CAS Registry Number: 6947-74-6.

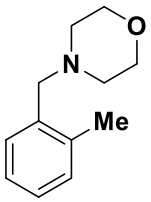
1l: 1-(2,3,4-trifluorobenzyl)piperidine

Prepared according to general procedure B, using 2,3,4-trifluorobenzyl bromide (1.45 mL, 11 mmol) and piperidine (0.99 mL, 10 mmol). The reaction mixture was purified by FCC (P.E./EtOAc = 10/0 to 3/1) to afford the title compound as a yellow oil in 94% yield.



^{1}H NMR (400 MHz, $CDCl_3$): δ 7.19 - 7.04 (m, 1H), 6.91 (tdd, *J*=9.2, 7.1, 2.1 Hz, 1H), 3.51 (s, 2H), 2.39 (br s, 4H), 1.56 (quin, *J*=5.6 Hz, 4H), 1.41 (quin, *J*=5.6 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 151.4 - 151.2 (m), 148.9 -148.7 (m), 139.7 (dt, *J*=250.9, 16.1 Hz), 125.1 -124.2 (m), 122.6 (dd, *J*=12.5, 4.4 Hz), 111.5 (dd, *J* = 17.1, 3.9 Hz), 55.3, 54.1, 25.9, 24.1. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -136.63 (dd, $J_{F\text{-}F}$ = 20.3, 6.6 Hz), -138.42 (dd, $J_{F\text{-}F}$ = 20.8, 6.6 Hz), -161.28 (t, $J_{F\text{-}F}$ = 20.5 Hz). **HRMS** (ES+) *m/z* calculated for $C_{12}H_{15}NF_3$, $[M+H]^+$ 230.1151, found 230.1147.

5a: 4-(2-Methylbenzyl)morpholine

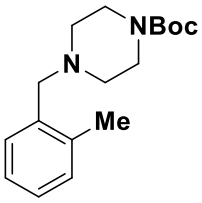


Prepared according to general procedure B, using 2-methylbenzyl bromide (2.95 mL, 22 mmol) and morpholine (1.75 mL, 20 mmol). The reaction mixture was purified by FCC (P.E./EtOAc = 9/1) to afford the title compound as a colourless oil in 93% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.18 (d, *J*=7.6 Hz, 1H), 7.13 - 7.03 (m, 3H), 3.61 (t, *J*=4.6 Hz, 4H), 3.38 (s, 2H), 2.37 (t, *J*=4.6 Hz, 4H), 2.30 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 137.2, 135.6, 130.0, 129.6, 126.8, 125.1, 66.7, 61.1, 53.4, 18.9.

Analytical data are in accordance with those previously reported for this compound.[12]

5b: *tert*-Butyl -4-(2-methylbenzyl)piperazine-1-carboxylate

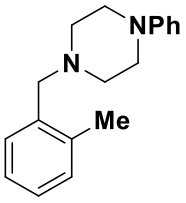


Prepared according to general procedure B, using 1-Boc-piperazine (0.56 g, 3.0 mmol) and 2-methylbenzyl bromide (0.44 mL, 3.3 mmol). The reaction mixture was purified by FCC (P.E./EtOAc = 10/0 to 3/1) to afford the title compound as a colourless oil in 98% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.29 (s, 1H), 7.20 (s, 3H), 3.45 (br s, 6H), 2.56 - 2.30 (m, 7H), 1.49 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 154.9, 137.7, 136.0, 130.4, 130.0, 127.3, 125.6, 79.6, 60.9, 53.0, 44.3 (br s), 43.4 (br s), 28.5, 19.4.

Rotameric forms of this compound are observed in the ^{13}C NMR spectrum at 43.36 and 44.27ppm (broad singlets). This compound was previously reported.[14]

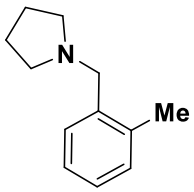
5c: 1-(2-Methylbenzyl)-4-phenylpiperazine



Prepared according to general procedure B, using 1-phenylpiperazine (0.46 g, 3.0 mmol) and 2-methylbenzyl bromide (0.44 mL, 3.3 mmol). The reaction mixture was purified by FCC (P.E./EtOAc = 10/0 to 9/1) to afford the title compound as a white solid in 95% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.39 - 7.20 (m, 6H), 7.00 (d, *J* = 8.0 Hz, 2H), 6.93 (t, *J* = 7.1 Hz, 1H), 3.61 (s, 2H), 3.26 (br s, 4H), 2.70 (br s, 4H), 2.46 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 151.4, 137.6, 136.1, 130.3, 129.8, 129.0, 127.1, 125.5, 119.5, 116.0, 60.8, 53.1, 49.2, 19.3. **HRMS** (ES+) *m/z* calculated for $C_{18}H_{22}N_2$, $[M+H]^+$ 267.1856, found 267.1848.

5d: 1-(2-Methylbenzyl)pyrrolidine

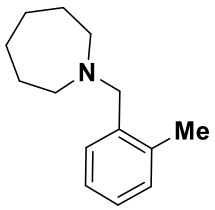


Prepared according to general procedure B, using pyrrolidine (1.25 mL, 15 mmol) and 2-methylbenzyl bromide (2.21 mL, 16.5 mmol). The reaction mixture was purified by FCC (P.E./EtOAc = 19/1 to 10/1) to afford the title compound as a pale yellow oil in 65% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ = 7.31 (dt, *J*= 4.9, 2.2 Hz, 1H), 7.19 - 7.11 (m, 3H), 3.59 (s, 2H), 2.55 - 2.49 (m, 4H), 2.37 (s, 3H), 1.78 (dt, *J*=6.9, 3.2 Hz, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 137.8, 136.7, 130.0, 129.1, 126.7, 125.6, 58.1, 54.4, 23.5, 19.2.

Analytical data are in accordance with those previously reported for this compound.[15]

5e: 1-(2-Methylbenzyl)azepane

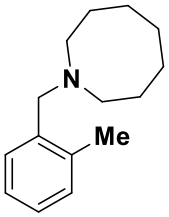


Prepared according to general procedure B, using azepane (1.69 mL, 3.0 mmol) and 2-methylbenzyl bromide (2.21 mL, 16.5 mmol). The reaction mixture was purified by FCC (P.E./EtOAc = 10/0 to 9/1) to afford the title compound as a white solid in 76% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.33 - 7.27 (m, 1H), 7.17 - 7.12 (m, 3H), 3.56 (s, 2H), 2.61 (br s, 4H), 2.37 (s, 3H), 1.61 (br s, 8H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 138.2, 137.4, 130.1, 129.4, 126.6, 125.3, 60.7, 55.5, 28.4, 27.1, 19.2.

This compound was reported with a CAS Registry Number: 96983-20-9.

5f: 1-(2-methylbenzyl)azocane



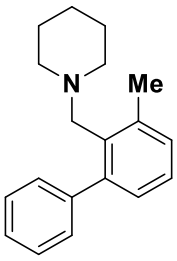
Prepared according to general procedure B, using azocane(0.63 mL, 5.0 mmol) and 2-methylbenzyl bromide (0.74 mL, 5.5 mmol). The reaction mixture was purified by FCC (P.E./EtOAc = 100/0 to 9/1) to afford the title compound as very pale yellow oil in 93% yield.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.38 – 7.32 (m, 1H), 7.26 – 7.16 (m, 3H), 3.61 (s, 2H), 2.61 (t, *J* = 5.8 Hz, 4H), 2.47 (s, 3H), 1.75 – 1.49 (m, 10H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 138.3, 137.6, 130.1, 130.0, 126.7, 125.3, 61.8, 54.4, 27.9 (2 X C), 26.0, 19.3. **HRMS** (ESI+) *m/z* calculated for $C_{15}H_{24}N$, $[M+H]^+$ 218.1903, found 218.1901.

5. General Procedure C: Synthesis of Compounds 3, 4 & 6

A microwave vial (10 mL) containing a stir bar was charged with benzylpiperidine (0.5 mmol, 1 equiv), Ag_2CO_3 (275.0 mg, 1.0 mmol, 2 equiv), $NaHCO_3$ (168.0 mg, 2.0 mmol, 4 equiv), 1,4 benzoquinone (27.0 mg, 0.25 mmol, 0.5 equiv), aryl-BPin (0.7 mmol, 1.4 equiv) and $Pd(OAc)_2$ (11.3 mg, 0.05 mmol, 10 mol%). The vial was evacuated and backfilled with Ar thrice and a solution mixture of DMSO (12.5 µL), H_2O (50 µL) and *t*-amylOH (2.5 mL) was then added. The vial was then sealed and the reaction mixture was allowed to stir at 100 ^{0}C for 18 hours. The reaction mixture was allowed to cool down to room temperature, then diluted with EtOAc and filtered through a pad of celite, washing with EtOAc. The solvent was removed *in vacuo* and crude mixture was then filtered over basic alumina with 1:9 mixture of ethyl acetate and petroleum ether (200 mL) and filtrate was concentrated *in vacuo*, and the resulting mixture was purified via basified flash column chromatography (DCM/NEt_3 = 100/0 to 99/1). TLC analysis (DCM/MeOH/NEt_3= 94/5/1): R_f was reported within the range of 0.35 – 0.45.

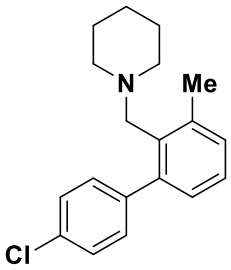
3a: 1-((3-Methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **3a** was isolated as a white solid (107 mg, 81%).

^{1}H NMR (400 MHz, $CDCl_3$) δ 7.49 – 7.40 (m, 3H), 7.39 – 7.34 (m, 2H), 7.28 – 7.24 (m, 2H), 7.12 (dd, *J* = 5.9, 3.1 Hz, 1H), 3.45 (s, 2H), 2.59 (s, 3H), 2.20 (br s, 4H), 1.52 – 1.43 (m, 4H), 1.42 – 1.33 (m, 2H).**^{13}C NMR** (101 MHz, $CDCl_3$) δ 143.8, 142.5, 139.2, 134.8, 129.9, 129.6, 127.6, 127.5, 126.4, 126.3, 56.9, 53.9, 26.2, 24.4, 20.2. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{24}N$ $[M+H]^+$ 266.1903; found 266.1899. **IR** ν_{max} /cm^{-1}: 2931, 1498, 1092, 831, 785. **MP**: 56-57 ^{o}C

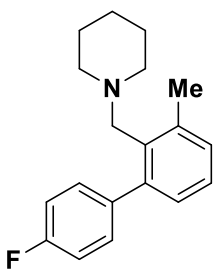
3b: 1-((4'-Chloro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-chlorophenylboronic acid pinacol ester **2b** (166.7 mg, 0.7 mmol). **3b** was isolated as a colourless oil (111 mg, 74%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.42–7.36 (m, 2H), 7.33–7.28 (m, 2H), 7.25–7.21 (m, 2H), 7.07–7.01 (m, 1H), 3.36 (s, 2H), 2.54 (s, 3H), 2.18 (br s, 4H), 1.50–1.40 (m, 4H), 1.39-1.32 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 142.6, 141.0, 139.2, 134.8, 132.5, 131.2, 129.8, 127.6, 127.5, 126.4, 56.8, 53.9, 26.2, 24.4, 20.3. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{23}ClN$ $[M+H]^+$ 300.1514; found 300.1507. **IR** ν_{max} /cm^{-1}: 2932, 1492, 1090, 834, 782.

3c: 1-((4'-Fluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine

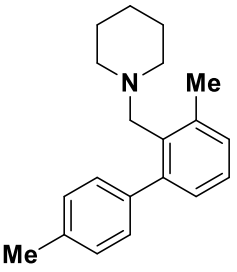


Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-fluorophenylboronic acid pinacol ester **2c** (155.5 mg, 0.7 mmol). **3c** was isolated as a colourless oil (108 mg, 76%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.36 – 7.28 (m, 2H), 7.26 – 7.19 (m, 2H), 7.16 – 7.01 (m, 3H), 3.37 (s, 2H), 2.55 (s, 3H), 2.18 (br s, 4H), 1.52–1.41 (m, 4H), 1.40-1.32 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.8 (d, J_{C-F} = 245.0 Hz), 142.8, 139.1, 138.4 (d, J_{C-F} = 3.4 Hz), 135.0, 131.4 (d, J_{C-F} = 7.8 Hz), 129.7, 127.7,

126.4, 114.3 (d, $J_{C\text{-}F}$ = 21.1 Hz), 56.8, 53.9, 26.2, 24.5, 20.3. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -116.63. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{23}FN$ $[M+H]^+$ 284.1809; found 284.1803. **IR** ν_{max} /cm^{-1}: 2933, 1510, 1464, 839, 783.

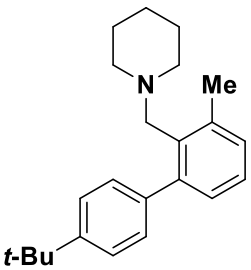
3d: 1-((3,4'-Dimethyl-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-methylphenylboronic acid pinacol ester **2d** (152.7 mg, 0.7 mmol). **3d** was isolated as a colourless oil (108 mg, 77%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.25 – 7.16 (m, 6H), 7.03 (dd, *J* = 5.7, 2.8 Hz, 1H), 3.38 (s, 2H), 2.51 (s, 3H), 2.42 (s, 3H), 2.15 (br.s, 4H), 1.50–1.36 (m, 4H), 1.35-1.25 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 143.7, 139.6, 139.2, 136.0, 134.9, 129.8, 129.4, 128.2, 127.7, 126.3, 57.0, 53.9, 26.2, 24.5, 21.2, 20.2. **HRMS** (ESI +): *m/z* calculated for $C_{20}H_{26}N$ $[M+H]^+$ 280.2060; found 280.2055. **IR** ν_{max} /cm^{-1}: 2933, 1514, 1264, 1099, 728.

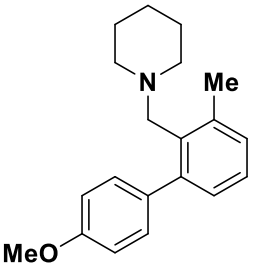
3e: 1-((4'-(*t*-Butyl)-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-*t*-butylphenylboronic acid pinacol ester **2e** (182.1 mg, 0.7 mmol). **3e** was isolated as a white solid (112 mg, 70%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.39 (d, *J* = 8.4 Hz, 2H), 7.22 (d, *J* = 8.4 Hz, 2H), 7.19 – 7.14 (m, 2H), 7.05 (dd, *J* = 6.4, 2.6 Hz, 1H), 3.38 (s, 2H), 2.51 (s, 3H), 2.14 (br s, 4H), 1.44 – 1.36 (m, 13H), 1.36 – 1.24 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 149.2, 143.7, 139.5, 139.1, 135.1, 129.5, 129.4, 127.7, 126.2, 124.3, 56.9, 53.9, 34.5, 31.5, 26.2, 24.5, 20.3. **HRMS** (ESI +): *m/z* calculated for $C_{23}H_{32}N$ $[M+H]^+$ 322.2529; found 322.2524. **IR** ν_{max} /cm^{-1}: 2932, 1511, 1463, 862, 782. **MP**: 107-108 °C.

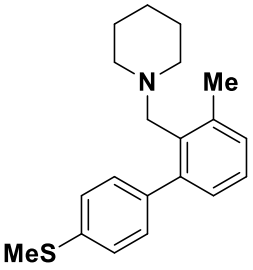
3f: 1-((4'-Methoxy-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-methoxyphenylboronic acid pinacol ester **2f** (187.3 mg, 0.8 mmol). **3f** was isolated as a white solid (91 mg, 62 %)

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.25 – 7.20 (m, 2H), 7.19 – 7.14 (m, 2H), 7.03 (dd, *J* = 6.3, 2.7 Hz, 1H), 6.95 – 6.89 (m, 2H), 3.87 (s, 3H), 3.36 (s, 2H), 2.50 (s, 3H), 2.14 (br s, 4H), 1.47 – 1.36 (m, 4H), 1.35 – 1.25 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.2, 143.4, 139.2, 135.1, 135.0, 131.0, 129.4, 127.8, 126.3, 112.9, 56.9, 55.2, 53.9, 26.2, 24.5, 20.2. **HRMS** (ESI +): *m/z* calculated for $C_{20}H_{26}NO$ $[M+H]^+$: 296.2009; found 296.2004. **IR** ν_{max} /cm^{-1}: 2932, 1610, 1463, 852, 783. **MP**: 80-81 °C.

3g: 1-((3-methyl-4'-(methylthio)-[1,1'-biphenyl]-2-yl)methyl)piperidine

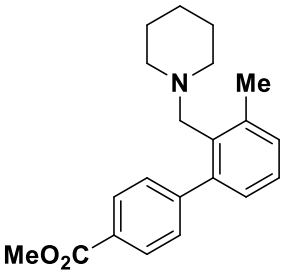


Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-

thiomethylphenylboronic acid pinacol ester **2g** (175.1 mg, 0.7 mmol). **3g** was isolated as a white solid (67 mg, 43 %)

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.31 – 7.21 (m, 4H), 7.21 – 7.15 (m, 2H), 7.02 (dd, *J* = 5.9, 3.1 Hz, 1H), 3.36 (s, 2H), 2.54 (s, 3H), 2.50 (s, 3H), 2.14 (br s, 4H), 1.47 – 1.35 (m, 4H), 1.35 – 1.26 (m, 2H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 143.2, 139.4, 139.2, 136.3, 134.9, 130.4, 129.6, 127.6, 126.4, 125.7, 56.9, 53.9, 26.2 24.4, 20.2, 15.9. **HRMS** (ESI +): *m/z* calculated for $C_{20}H_{26}NS$ $[M+H]^+$ 312.1781; found 312.1777. **IR** ν_{max} /cm^{-1}: 2930, 1600, 1495, 1117, 781. **MP**: 84 – 85 ^{o}C.

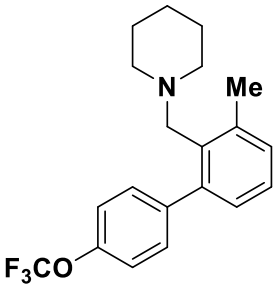
3h: Methyl 3'-methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-4-carboxylate



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-methoxycarbonylphenylboronic acid pinacol ester **2h** (183.5 mg, 0.7 mmol). **3h** was isolated as a white solid (131 mg, 81%)

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.05 (d, *J* = 8.3 Hz, 2H), 7.38 (d, *J* = 8.3 Hz, 2H), 7.23 – 7.15 (m, 2H), 7.05 – 6.95 (m, 1H), 3.95 (s, 3H), 3.32 (s, 2H), 2.49 (s, 3H), 2.10 (br s, 4H), 1.42 - 1.33 (m, 4H), 1.32 – 1.23 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 167.2, 147.6, 142.8, 139.2, 134.7, 130.0, 129.9, 128.8, 128.2, 127.3, 126.5, 56.8, 53.8, 52.1, 26.1, 24.4, 20.2. **HRMS** (ESI +): *m/z* calculated for $C_{21}H_{26}NO_2$ $[M+H]^+$ 324.1958; found 324.1949. **IR** ν_{max} /cm^{-1}: 2933, 1723, 1609, 1435, 861, 770. **MP**: 56 – 58 ^{o}C.

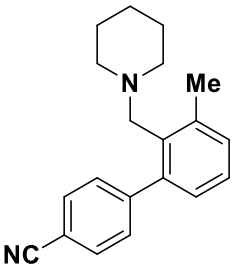
3i: 1-((3-Methyl-4'-(trifluoromethoxy)-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-trifluoroetherphenylboronic acid pinacol ester **2j** (201.7 mg, 0.7 mmol). **3i** was isolated as a colourless oil (132 mg, 76%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.34 (d, 2H, *J* = 8.8 Hz), 7.25 – 7.16 (m, 4H), 7.04 – 7.00 (m, 1H), 3.31 (s, 2H), 2.49 (s, 3H), 2.12 (br s, 4H), 1.46 – 1.21 (m, 6H). **^{13}C NMR** (126 MHz, $CDCl_3$): δ 148.0, 142.5, 141.3, 139.1, 134.9, 131.2, 129.9, 127.6, 126.5, 120.6 (q, J_{C-F} = 21.1 Hz), 119.9, 56.7, 53.8, 26.1, 24.4, 20.3. **^{19}F NMR** (377 MHz, $CDCl_3$): -57.76 **HRMS** (ESI +) *m/z* calculated for $C_{20}H_{23}F_3NO$ $[M+H]^+$: 350.1726; found 350.1720. **IR** ν_{max} /cm^{-1}: 2934, 1510, 1465, 851, 782.

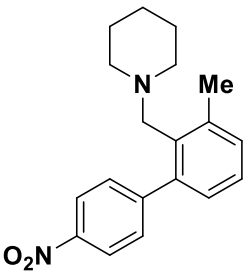
3j: 3'-Methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-4-carbonitrile



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-cyanophenylboronic acid pinacol ester **2j** (160.4 mg, 0.7 mmol). **3j** was isolated as a white solid (96 mg, 66%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.66 (d, *J* = 8.3 Hz, 2H), 7.44 (d, *J* = 8.4 Hz, 2H), 7.21 (d, *J* = 4.8 Hz, 2H), 6.98 (t, *J* = 4.5 Hz, 1H), 3.28 (s, 2H), 2.48 (s, 3H), 2.10 (br s, 4H), 1.40 – 1.23 (m, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 147.7, 142.1, 139.1, 134.6, 131.3, 130.5, 130.4, 127.2, 126.6, 119.2, 110.3, 56.6, 53.8, 26.1, 24.3, 20.3. **HRMS** (ESI +): *m/z* calculated for $C_{20}H_{23}N_2$ $[M+H]^+$ 291.1856; found 291.1851. **IR** ν_{max} /cm^{-1}: 2932, 2227, 1606, 1505, 1465, 844, 783. **MP**: 80-81 ^{o}C.

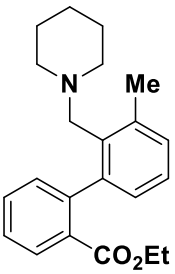
3k: 1-((3-Methyl-4'-nitro-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 4-nitrophenylboronic acid pinacol ester **2k** (174.4 mg, 0.7 mmol). **3k** was isolated as a solid (84 mg, 54%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.23 (d, *J* = 8.7 Hz, 2H), 7.51 (d, *J* = 8.7 Hz, 2H), 7.23 (d, *J* = 4.6 Hz, 2H), 7.00 (t, *J* = 4.5 Hz, 1H), 3.30 (s, 2H), 2.48 (s, 3H), 2.11 (br s, 4H), 1.51 – 1.17 (m, 6H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 149.9, 146.6, 141.7, 139.1, 134.6, 130.6, 130.5, 127.3, 126.7, 122.7, 56.7, 53.8, 26.1, 24.3, 20.3. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{23}N_2O_2$ $[M+H]^+$:311.1754; found 311.1750. **IR** ν_{max} /cm^{-1}: 2933, 1598, 1459, 857, 785. **MP**: 90 - 92 ^{o}C.

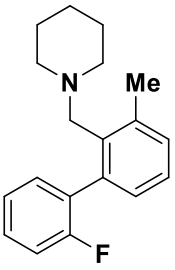
3l: Ethyl 3'-methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-2-carboxylate



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 2-ethoxycarbonylphenylboronic acid pinacol ester **2l** (193.3 mg, 0.7 mmol). **3l** was isolated as a colourless oil (128 mg, 76%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.08 – 7.98 (m, 2H), 7.51 (dt, *J* = 7.6, 1.5 Hz, 1 H), 7.44 (t, *J* = 7.8, 1H), 7.22 – 7.17 (m, 2H), 7.03 (dd, *J* = 5.3, 3.7 Hz, 1H), 4.38 (q, *J* = 7.1 Hz, 2H), 3.30 (s, 2H), 2.49 (s, 3H), 2.12 (br s, 4H), 1.48 – 1.33 (m, 4H), 1.39 (t, 7.1 Hz, 3H), 1.34 – 1.24 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 166.8, 142.9, 142.7, 139.0, 134.9, 134.2, 131.0, 129.9, 129.6, 127.7, 127.6, 127.5, 126.4, 60.9, 56.8, 53.8, 26.1, 24.4, 20.3, 14.3. **HRMS** (ESI +): *m/z* calculated for $C_{22}H_{28}NO_2$ $[M+H]^+$ 338.2115; found 338.2105. **IR** ν_{max} /cm^{-1}: 2933, 1719, 1465, 862, 784.

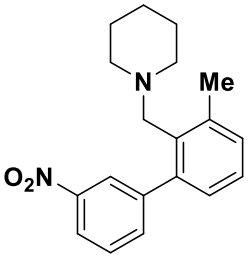
3m: 1-((2'-Fluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 2-fluorophenylboronic acid pinacol ester **2m** (155.5 mg, 0.7 mmol). **3m** was isolated as a white solid (28 mg, 20%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.37 – 7.29 (m, 1H), 7.26 – 7.19 (m, 3H), 7.16 (t, *J* = 7.4 Hz, 1H), 7.10 (t, *J* = 8.9 Hz, 1H), 7.05 – 6.99 (m, 1H), 3.40 (d, *J* = 12.8 Hz, 1H), 3.19 (d, *J* = 12.7 Hz, 1H), 2.50 (s, 3H), 2.08 (br s, 4H), 1.41 – 1.32 (m, 4H), 1.31 - 1.24 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.7 (d, J_{C-F} = 244.3 Hz), 139.0, 136.9, 135.8, 131.9 (d, J_{C-F} = 3.5 Hz), 130.3, 130.0 (d, J_{C-F} = 16.7 Hz), 128.7 (d, J_{C-F} = 8.0 Hz), 127.7, 126.4, 123.4 (d, J_{C-F} = 3.4 Hz), 115.0 (d, J_{C-F} = 22.5 Hz), 57.5, 53.9, 26.1, 24.4, 20.1. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -114.40. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{23}NF$ $[M+H]^+$ 284.1809; found 284.1803. . **IR** ν_{max} /cm^{-1}: 2931, 1512, 838, 782. **MP**: 74 - 76 ^{o}C.

3o: 1-((3-Methyl-3'-nitro-[1,1'-biphenyl]-2-yl)methyl)piperidine

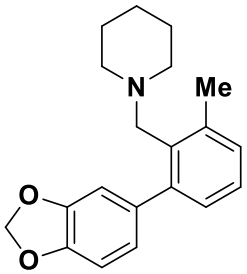


Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 3-nitrophenylboronic

acid pinacol ester **2o** (174.4 mg, 0.7 mmol). **3o** was isolated as a yellow solid (90 mg, 58%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.35 (t, *J* = 1.9 Hz, 1H), 8.20 (ddd, *J* = 8.2, 2.3, 1.0 Hz, 1H), 7.67 (dt, *J* =7.6, 1.2 Hz, 1H), 7.53 (t, *J* = 7.9 Hz, 1H), 7.24 – 7.20 (m, 2H), 7.04 (t, *J* = 4.5 Hz, 1 H), 3.27 (s, 2H), 2.48 (s, 3H), 2.14 (br s, 4H), 1.44 – 1.23 (m, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 147.4, 144.2, 141.6, 138.9, 135.9, 134.8, 130.4, 128.2, 127.7, 126.8, 125.0, 121.6, 56.6, 53.7, 26.1, 24.4, 20.4. **HRMS** (ESI+): *m/z* calculated for $C_{19}H_{23}N_2O_2$ $[M+H]^+$ 311.1754; found 311.1748. **IR** ν_{max} /cm^{-1}: 2933, 1526, 1459, 886, 783. **MP**: 88 – 90 ^{o}C.

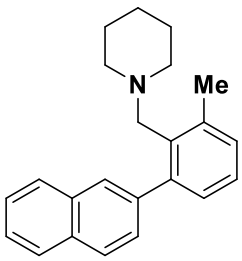
3p: 1-(2-(Benzo[*d*][1,3]dioxol-5-yl)-6-methylbenzyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 3,4-methylenedioxyphenylboronic acid pinacol ester **2p** (173.7 mg, 0.7 mmol). **3p** was isolated as a white solid (97 mg, 63 %).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.18 – 7.14 (m, 2H), 7.04 – 7.00 (m, 1H), 6.85 (d, *J* = 1.6 Hz, 1H), 6.83 (d, *J* = 7.9 Hz, 1H), 6.73 (dd, *J* = 7.9, 1.6 Hz, 1H), 6.01 (s, 1H), 3.36 (s, 2H), 2.49 (s, 3H), 2.16 (br s, 4H), 1.49 – 1.38 (m, 4H), 1.36 – 1.28 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 146.8, 146.1, 143.3, 139.2, 136.4, 135.1, 129.5, 127.7, 126.3, 123.2, 110.7, 107.5, 100.9, 56.9, 53.9, 26.2, 24.5, 20.3. **HRMS** (ESI +): *m/z* calculated for $C_{20}H_{24}O_2$ N $[M+H]^+$ 310.1802; found 310.1798. **IR** ν_{max} /cm^{-1}: 2933, 1490, 1223, 1038, 815, 738. **MP**: 56 – 58 ^{o}C**.**

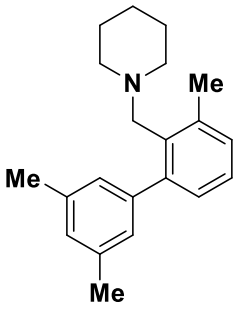
3q: 1-(2-Methyl-6-(naphthalen-2-yl)benzyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 2-naphthylboronic acid pinacol ester **2q** (203.3 mg, 0.8 mmol). **3q** was isolated as a white solid (118 mg, 75%)

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.94 – 7.83 (m, 3H), 7.80 (s, 1H), 7.58 – 7.43 (m, 3H), 7.25 – 7.19 (m, 2H), 7.17 – 7.10 (m, 1H), 3.41 (s, 2H), 2.54 (s, 3H), 2.13 (br s, 4H), 1.49 – 1.34 (m, 4H), 1.33 – 1.19 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 143.7, 140.1, 139.2, 135.0, 133.0, 132.1, 129.7, 128.7, 128.4, 128.0, 127.8, 127.6, 126.8, 126.4, 126.0, 125.7, 57.1, 53.8, 26.2, 24.4, 20.3. **HRMS** (ESI +): *m/z* calculated for $C_{23}H_{26}N$ $[M+H]^+$ 316.2060; found 316.2052. **IR** ν_{max} /cm^{-1}: 3053, 2931, 1503, 1456, 894, 783. **MP**: 96 – 98 ^{o}C.

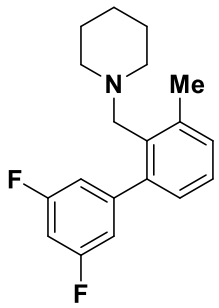
3r: 1-((3,3',5'-trimethyl-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 3,5-dimethylphenylboronic acid pinacol ester **2r** (162.5 mg, 0.7 mmol). **3r** was isolated as a colourless oil (113 mg, 77%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.21 – 7.12 (m, 2H), 7.03 (dd, *J* = 6.3, 2.7 Hz, 1H), 6.99 – 6.96 (m, 1H), 6.94 (s, 2H), 3.36 (s, 2H), 2.50 (s, 3H), 2.36 (s, 6H), 2.17 (br s, 4H), 1.48 – 1.38 (m, 4H), 1.36 – 1.28 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 144.0, 142.4, 139.1, 136.8, 134.8, 129.4, 128.0, 127.8, 127.5, 126.2, 57.0, 53.9, 26.3, 24.5, 21.4, 20.3. **HRMS** (ESI +): *m/z* calculated for $C_{21}H_{28}N$ $[M+H]^+$ 294.2216; found 294.2210. **IR** ν_{max} /cm^{-1}: 2931, 1602, 1466, 851, 782.

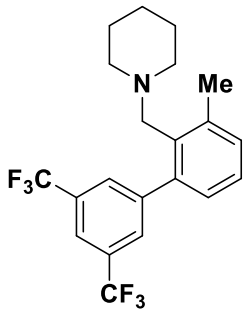
3s: 1-((3',5'-Difluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 3,5-difluorophenylboronic acid pinacol ester **2s** (168.1 mg, 0.7 mmol). **3s** was isolated as a colourless oil (91 mg, 60 %)

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.24 – 7.15 (m, 2H), 7.01 (t, J = 4.5 Hz, 1H), 6.95 – 6.89 (m, 2H), 6.78 (tt, J = 9.1, 2.4 Hz, 1H), 3.31 (s, 2H), 2.48 (s, 3H), 2.17 (br s, 4H), 1.48 – 1.39 (m, 4H), 1.38 – 1.28 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 162.2 (d, $J_{C\text{-}F}$ = 247.9 Hz), 162.1 (d, $J_{C\text{-}F}$ = 248.5 Hz), 145.9 (t, $J_{C\text{-}F}$ = 9.7 Hz), 141.7 (t, $J_{C\text{-}F}$ = 1.9 Hz), 139.1, 134.7, 130.2, 127.3, 126.6, 113.6 – 112.4 (m), 101.9 (t, $J_{C\text{-}F}$ = 25.3 Hz), 56.7, 53.8, 26.2, 24.4, 20.3. **^{19}F NMR** (377 MHz, $CDCl_3$): -111.42. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{22}NF_2$ $[M+H]^+$ 302.1715; found 302.1710. **IR** ν_{max} /cm^{-1}: 2933, 1623, 1454, 859, 783.

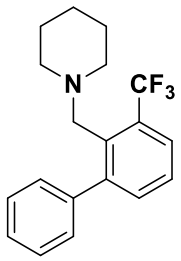
3t: 1-((3-Methyl-3',5'-bis(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methylbenzyl)piperidine **1a** (95.0 mg, 0.5 mmol) and 3,5-bis(trifluoromethylphenyl)boronic acid pinacol ester **2t** (238.1 mg, 0.7 mmol). **3t** was isolated as a colourless oil (86 mg, 43%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.94 (s, 2H), 7.84 (s, 1H), 7.28 – 7.20 (m, 2H), 7.09 – 6.99 (m, 1H), 3.24 (s, 2H), 2.46 (s, 3H), 2.17 (br s, 4H), 1.42 – 1.27 (m, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 144.7, 141.3, 138.7, 134.8, 130.6, 130.5 (q, $J_{C\text{-}F}$ = 36.3 Hz), 129.9 (d, $J_{C\text{-}F}$ = 3.1 Hz), 128.0, 126.9, 123.6 (q, $J_{C\text{-}F}$ = 273.7 Hz), 120.3, 56.5, 53.6, 25.9, 24.4, 20.6. **^{19}F NMR** (377 MHz, $CDCl_3$): -62.62. **HRMS** (ESI +): *m/z* calculated for $C_{21}H_{22}NF_6$ $[M+H]^+$ 402.1651; found 402.1645. **IR** ν_{max} /cm^{-1}: 2937, 1379, 1275, 1128, 896, 783.

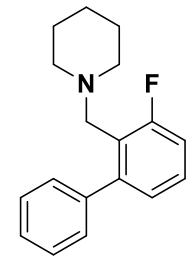
4a: 1-((3-(Trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-(trifluoromethyl)benzyl)piperidine **1b** (121.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4a** was isolated as a pale yellow oil (117 mg, 73 %)

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.63 – 7.52 (m, 1H), 7.35 – 7.25 (m, 5H), 7.23 – 7.17 (m, 2H), 3.44 (d, J = 1.2 Hz, 2H), 1.96 (br s, 4H), 1.16 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.9, 142.0, 136.7, 133.8, 130.0 (q, $J_{C\text{-}F}$ = 29.4 Hz), 129.0, 127.6, 126.7, 126.5, 125.2, 124.7 (q, $J_{C\text{-}F}$ = 273.3 Hz), 55.7, 53.6, 25.9, 24.3. **^{19}F NMR** (377 MHz, $CDCl_3$): -57.18. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{21}NF_3$ $[M+H]^+$ 320.1621; found 320.1614. **IR** ν_{max} /cm^{-1}: 2934, 1320, 1119, 760, 646.

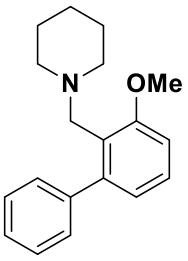
4b: 1-((3-Fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-fluorobenzyl)piperidine **1c** (96.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4b** was isolated as a yellow oil (64 mg, 48%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.52 (dd, J = 8.0, 1.5 Hz, 2H), 7.39 – 7.28 (m, 3H), 7.22 (dt, J = 5.7, 1.8 Hz, 1H), 7.05 (dd, J = 7.6, 0.8 Hz, 1H), 6.99 (ddd, J = 9.5, 8.2, 1.2 Hz, 1H), 3.31 (d, J = 2.4 Hz, 2H), 2.23 (br s, 4H), 1.48 – 1.37 (m, 4H), 1.36 – 1.25 (m, 2H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.9 (d, $J_{C\text{-}F}$ = 245.5 Hz), 146.1 (d, $J_{C\text{-}F}$ = 4.0 Hz), 140.6 (d, $J_{C\text{-}F}$ = 2.7 Hz), 129.9, 128.1 (d, $J_{C\text{-}F}$ = 9.5 Hz), 127.6, 127.1, 125.9 (d, $J_{C\text{-}F}$ = 3.0 Hz), 123.8 (d, $J_{C\text{-}F}$ = 14.5 Hz), 113.9 (d, $J_{C\text{-}F}$ = 23.9 Hz), 53.7, 52.7, 26.1, 24.3. **^{19}F NMR** (377 MHz, $CDCl_3$): -114.87. **HRMS** (ESI +): *m/z* calculated for $C_{18}H_{21}NF$ $[M+H]^+$: 270.1653; found 270.1647. **IR** ν_{max} /cm^{-1}: 2932, 1464, 1153, 759, 700.

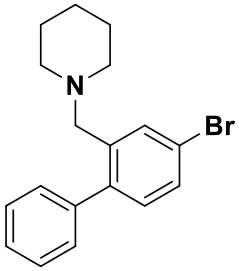
4c: 1-((3-Methoxy-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2-methoxybenzyl)piperidine **1d** (102.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4c** was isolated as a yellow oil (62 mg, 44%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.55 (d, J = 6.9 Hz, 2H), 7.39 – 7.21 (m, 4H), 6.94 – 6.84 (m, 2H), 3.84 (s, 3H), 3.35 (s, 2H), 2.23 (br s, 4H), 1.49 – 1.37 (m, 4H), 1.36 – 1.27 (m, 2H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.6, 145.5, 141.9, 130.0, 127.6, 127.4, 126.6, 125.3, 122.9, 113.9, 55.8, 53.7, 52.8, 26.2, 24.5. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{24}NO$ $[M+H]^+$ 282.1852; found 282.1844. **IR** ν_{max} /cm^{-1}: 2930, 1581, 1498, 1205, 759, 701.

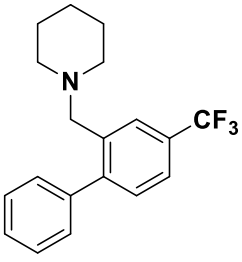
4d: 1-((4-Bromo-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(3-bromobenzyl)piperidine **1e** (126.5 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4d** was isolated as a yellow oil (36 mg, 22%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.75 (d, J = 2.0 Hz, 1H), 7.44 – 7.30 (m, 6H), 7.10 (d, J = 8.1 Hz, 1H), 3.32 (s, 2H), 2.28 (br s, 4H), 1.60 – 1.49 (m, 4H), 1.44 – 1.36 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 141.2, 140.4, 138.9, 132.3, 131.5, 129.5, 129.3, 127.9, 127.1, 121.3, 60.1, 54.3, 26.0, 24.3. **HRMS** (ESI +): *m/z* calculated for $C_{18}H_{21}NBr$ $[M+H]^+$ 330.0852, 332.0831; found 330.0846, 332.0822. **IR** ν_{max} /cm^{-1}: 2933, 1468, 1123, 763, 700.

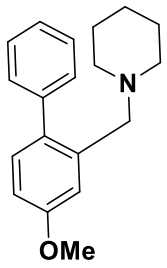
4e: 1-((4-(Trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(3-trifluorobenzyl)piperidine **1f** (121.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4e** was isolated as a yellow oil (44 mg, 28%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.88 (s, 1H), 7.54 (d, J = 7.8 Hz, 1H), 7.48 – 7.31 (m, 6H), 3.37 (s, 2H), 2.28 (br s, 4H), 1.61 – 1.48 (m, 4H), 1.44 – 1.32 (m, 2H). **^{13}C NMR** (126 MHz, $CDCl_3$): δ 145.8, 140.2 137.6, 130.3, 129.3 (q, J_{C-F} = 32.4 Hz), 129.2, 128.0, 127.4, 126.4 (q, J_{C-F} = 3.7 Hz), 124.4 (q, J_{C-F} = 272.6 Hz), 123.6 (q, J_{C-F} = 3.8 Hz), 60.1, 54.3, 26.0, 24.3. **^{19}F NMR** (377 MHz, $CDCl_3$): -62.26. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{21}NF_3$, $[M+H]^+$ 320.1621; found 320.1613. **IR** ν_{max} /cm^{-1}: 2935, 1327, 1163, 1120, 768, 701.

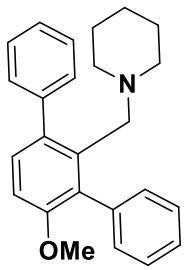
4f: 1-((4-Methoxy-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(3-methoxybenzyl)piperidine **1g** (102.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4f** was isolated as a yellow oil (38 mg, 27%); **4f'** was isolated as a white solid (22 mg, 12 %). **4f** was separated from a mixture of **4f** and SM **1g** using prep HPLC (Hexane/IPA= 95/5), 15 mL/ min, 60 mins.

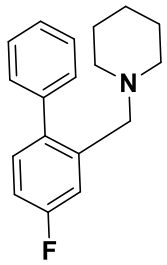
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.42 – 7.29 (m, 5H), 7.18 (d, J = 2.6 Hz, 1H), 7.16 (d, J = 8.4 Hz, 1H), 6.84 (dd, J = 8.4, 2.8 Hz, 1H), 3.86 (s, 3H), 3.34 (s, 2H), 2.29 (br s, 4H), 1.57 – 1.45 (m, 4H), 1.42 - 1.31 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): 158.7, 141.3, 137.9, 135.0, 130.9, 129.7, 127.8, 126.4, 114.7, 111.9, 60.6, 55.3, 54.3, 26.1, 24.4. **HRMS** (ESI +): *m/z* calculated for $C_{19}H_{24}NO$ $[M+H]^+$ 282.1852; found 282.1845. **IR** ν_{max} /cm^{-1}: 2933, 1607, 1492, 1274, 1051, 766, 701.

4f': 1-((4'-Methoxy-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine



^{1}H NMR (400 MHz, $CDCl_3$): δ 7.44 – 7.27 (m, 10H), 7.21 (d, J = 8.4 Hz, 1H), 6.95 (d, J = 8.4 Hz, 1H), 3.75 (s, 3H), 3.14 (s, 2H), 1.79 (br s, 4H), 1.22 – 1.07 (m, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): 156.0, 142.7, 137.7, 136.6, 136.6, 132.6, 130.7, 129.9, 129.8, 127.4, 127.3, 126.4, 126.1, 109.1, 56.3, 55.8, 53.3, 25.9, 24.3. **HRMS** (ESI +): *m/z* calculated for $C_{25}H_{28}NO$ $[M+H]^+$ 358.2165; found 358.2157. **IR** ν_{max} /cm^{-1}: 2931, 1593, 1493, 1337, 1204, 859, 771, 699. **MP**: 86 – 87 °C.

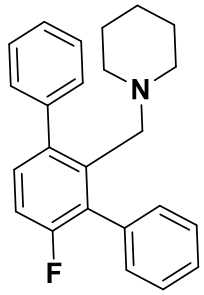
4g: 1-((4-Fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(3-fluorobenzyl)piperidine **1h** (96.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4g** was isolated as a yellow oil (19 mg, 14%); **4g'** was isolated as a white solid (60 mg, 35 %). **4g** was separated from a mixture of **4g** and SM **1h** using prep TLC (EA/PE = 1/19).

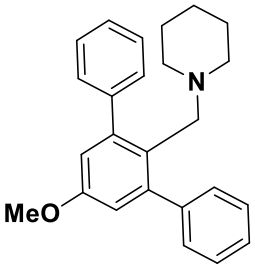
^{1}H NMR (500 MHz, $CDCl_3$): δ 7.43 – 7.27 (m, 6H), 7.18 (dd, J = 8.4, 5.9 Hz, 1H), 6.96 (td, J = 8.3, 2.8 Hz, 1H), 3.33 (s, 2H), 2.28 (br s, 4H), 1.58 – 1.46 (m, 4H), 1.44 - 1.33 (m, 2H). **^{13}C NMR** (126 MHz, $CDCl_3$): δ 162.2 (d, J_{C-F} = 245.0 Hz), 140.6, 139.2 (d, J_{C-F} = 5.2 Hz), 138.1 (d, J_{C-F} = 3.0 Hz), 131.3 (d, J_{C-F} = 7.9 Hz), 129.6, 127.9, 126.9, 115.8 (d, J_{C-F} = 21.9 Hz), 113.2 (d, J_{C-F} = 21.3 Hz), 60.3, 54.4, 26.1, 24.3. **^{19}F NMR** (377 MHz, $CDCl_3$): -115.76. **HRMS** (ESI +): *m/z* calculated for $C_{18}H_{21}NF$ $[M+H]^+$ 270.1653; found 270.1645. **IR** ν_{max} /cm^{-1}: 2934, 1610, 1480, 1266, 765, 700.

4g': 1-((4'-Fluoro-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine



^{1}H NMR (400 MHz, $CDCl_3$): δ 7.46 – 7.30 (m, 10H), 7.19 (dd, *J* = 8.4, 5.8 Hz, 1H), 7.08 (dd, *J* = 8.6, 8.5 Hz, 1H), 3.18 (s, 2H), 1.80 (br s, 4H), 1.22 – 1.07 (m, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.0 (d, $J_{C\text{-}F}$ = 243.4 Hz), 141.9, 139.8 (d, $J_{C\text{-}F}$ = 3.4 Hz), 137.5 (d, $J_{C\text{-}F}$ = 2.2 Hz), 135.1, 131.0 (d, $J_{C\text{-}F}$ = 16.0 Hz), 130.4, 130.2 (d, $J_{C\text{-}F}$ = 8.3 Hz), 129.8, 127.6, 127.5, 127.1, 126.6, 113.5 (d, $J_{C\text{-}F}$ = 23.2 Hz), 56.1, 53.3, 25.9, 24.2. **^{19}F NMR** (377 MHz, $CDCl_3$): -115.50. **HRMS** (ESI +): *m/z* calculated for $C_{24}H_{25}NF$ $[M+H]^+$ 346.1966; found 346.1959. **IR** ν_{max} /cm^{-1}: 2932, 1603, 1465, 1251, 763, 700. **MP**: 110 – 111 ^oC.

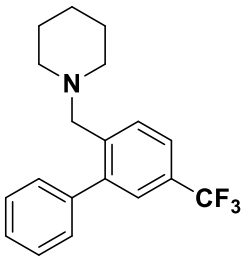
4h': 1-((5'-Methoxy-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(4-methoxybenzyl)piperidine **1i** (102.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (224.7 mg, 1.1 mmol). **4h'** was isolated as a colourless oil (53 mg, 30 %); yield of **4h** was calculated from an isolated mixture of **4h** and SM **1i** *via* 1H NMR.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.44 – 7.29 (m, 10H), 6.79 (s, 2H), 3.82 (s, 3H), 3.21 (s, 2H), 1.861 (br s, 4H), 1.22 – 1.09 (m, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 157.2, 145.4, 142.7, 129.6, 127.4, 127.0, 126.5, 114.7, 55.6, 55.3, 53.2, 26.0, 24.4. **HRMS** (ESI +) *m/z* calculated for $C_{25}H_{28}NO$ $[M+H]^+$ 358.2165; found 358.2157. **IR** ν_{max} /cm^{-1}: 2932, 1603, 1465, 1251, 763, 700.

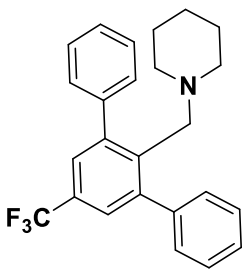
4i: 1-((5-(Trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(4-(trifluoromethyl)benzyl)piperidine **1j** (121.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (224.7 mg, 1.1 mmol). **4i** was isolated as a pale yellow oil (21 mg, 13%); **4i'** was isolated as a yellow oil (30 mg, 15%). **4i** was separated from a mixture of **4i** and SM **1j** using prep HPLC (Hexane/IPA= 98/2), 15 mL/ min), 60 mins.

^{1}H NMR (500 MHz, $CDCl_3$): δ 7.73 (d, *J* = 8.1 Hz, 1H), 7.58 (d, *J* = 8.1 Hz, 1H), 7.49 (s, 1H), 7.45 – 7.32 (m, 5H), 3.39 (s, 2H), 2.28 (br s, 4H), 1.57 – 1.47 (m, 4H), 1.43 – 1.34 (m, 2H). **^{13}C NMR** (126 MHz, $CDCl_3$): δ 142.8, 140.7, 140.1, 130.0, 129.3, 128.7 (q, $J_{C\text{-}F}$ = 32.4 Hz), 128.1, 126.7 (q, $J_{C\text{-}F}$ = 3.3 Hz), 125.4, 124.3 (q, $J_{C\text{-}F}$ = 272.3 Hz), 123.8 (d, $J_{C\text{-}F}$ = 3.6 Hz), 60.2, 54.4, 26.0, 24.3. **^{19}F NMR** (377 MHz, $CDCl_3$): δ –62.28. **HRMS** (ESI +) *m/z* calculated for $C_{19}H_{21}NF_3$ $[M+H]^+$: 320.1621; found 320.1613. . **IR** ν_{max} /cm^{-1}: 2934, 1333, 1121, 837, 701.

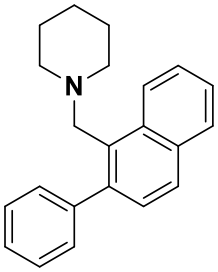
4i': 1-((5'-(Trifluoromethyl)-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine



^{1}H NMR (400 MHz, $CDCl_3$): δ 7.49 (s, 2H), 7.44 – 7.31 (m, 10H), 3.34 (s, 2H), 1.82 (br s, 4H), 1.12 – 1.07 (m, 6H). **^{13}C NMR** (126 MHz, $CDCl_3$): δ 144.8, 141.4 (2 x C), 138.8, 129.5, 128.4 (q, $J_{C\text{-}F}$ = 32.5 Hz), 127.7, 127.0, 125.9 (q, $J_{C\text{-}F}$ = 3.5 Hz), 124.2 (q, $J_{C\text{-}F}$ = 272.3 Hz), 123.6 (q, $J_{C\text{-}F}$ = 3.8 Hz), 55.9, 53.3, 25.9, 24.2. **^{19}F NMR** (377 MHz, $CDCl_3$): -62.29. **HRMS** (ESI +):

m/z calculated for $C_{25}H_{25}NF_3$ $[M+H]^+$ 396.1934; found 396.1916. **IR** ν_{max} /cm^{-1}: 2933, 1359, 1263, 1121, 748, 701.

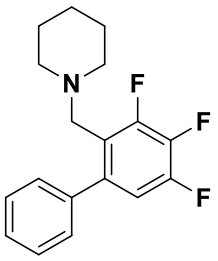
4j: 1-((2-Phenylnaphthalen-1-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(naphthalen-1-ylmethyl)piperidine **1k** (112.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4j** was isolated as a white solid (88 mg, 58%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.54 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 7.8 Hz, 1H), 7.78 (d, *J* = 8.4 Hz, 1H), 7.59 – 7.29 (m, 8H), 3.81 (s, 2H), 2.26 (br s, 4H), 1.47 – 1.38 (m, 4H), 1.36 – 1.28 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 140.6, 140.9, 133.3, 133.1, 131.6, 130.0, 128.1, 128.0, 127.7, 127.0, 126.7, 126.6, 125.7, 125.5, 56.8, 54.1, 26.1, 24.4. **HRMS** (ESI +): *m/z* calculated for $C_{22}H_{24}N$ $[M+H]^+$ 302.1903; found 302.1900. **IR** ν_{max} /cm^{-1}: 2932, 1494, 1442, 864, 762, 702. **MP**: 116 – 118 ^oC.

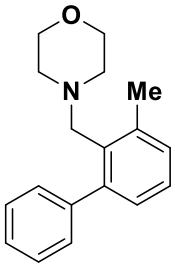
4k:1-((3,4,5-Trifluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine



Prepared according to general procedure C, using 1-(2,3,4-trifluorobenzyl)piperidine **1e** (114.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **4k** was isolated as a white solid (57 mg, 37%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.57 – 7.47 (m, 2H), 7.44 – 7.34 (m, 3H), 6.94 (ddd, *J* = 10.7, 7.2, 2.1 Hz, 1H), 3.31 (d, *J* = 2.9 Hz, 1H), 2.27 (br s, 4H), 1.54 – 1.42 (m, 4H), 1.42 – 1.31 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 150.0 (ddd, J_{C-F} = 245.4, 103.5, 3.0 Hz), 149.9 (ddd, J_{C-F} = 249.5, 104.0, 4.0 Hz), 139.9 – 139.8 (m), 139.0, 138.7 (ddd, J_{C-F} = 251.5, 17.2, 15.2 Hz), 129.7, 127.9, 127.7, 121.5 (dd, J_{C-F} = 11.4, 2.9 Hz), 113.6 (dd, J_{C-F} = 17.2, 3.3 Hz), 53.6, 52.6, 26.1, 24.2. **^{19}F NMR** (377 MHz, $CDCl_3$): -135.06 (dd, J_{F-F}= 20.9, 4.1 Hz), -136.66 (ddd, J_{F-F} = 20.8, 10.6, 7.4 Hz), -162.40 (td, J_{F-F} = 21.1, 7.2 Hz). **HRMS** (ESI +): *m/z* calculated for $C_{18}H_{19}NF_3$ $[M+H]^+$ 306.1464; found 306.1457. **IR** ν_{max} /cm^{-1}: 2935, 1607, 1516, 1493, 767, 700. **MP**: 60 – 62 ^oC.

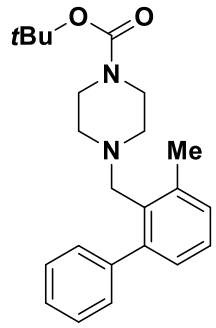
6a: 4-((3-Methyl-[1,1'-biphenyl]-2-yl)methyl)morpholine



Prepared according to general procedure C, using 4-(2-methylbenzyl)morpholine **5a** (95.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **6a** was isolated as a pale yellow oil (79 mg, 59%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.48 – 7.38 (m, 3H), 7.35 – 7.30 (m, 2H), 7.29 – 7.23 (m, 2H), 7.12 (dd, *J* = 6.5, 2.4 Hz, 1H), 3.64 – 3.55 (m, 4H), 3.50 (s, 2H), 2.57 (s, 3H), 2.29 – 2.19 (m, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 143.9, 142.3, 139.0, 133.6, 129.7, 129.7, 127.7, 127.6, 126.7, 126.6, 67.1, 56.4, 52.9, 20.2. **HRMS** (ESI +): *m/z* calculated for $C_{18}H_{22}NO$ $[M+H]^+$ 268.1696; found 268.1689. **IR** ν_{max} /cm^{-1}: 2851, 1461, 1004, 760, 702.

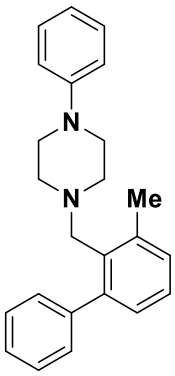
6b: *tert*-Butyl 4-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperazine-1-carboxylate



Prepared according to general procedure C, using *t*-butyl 4-(2-methylbenzyl)piperazine-1-carboxylate **5b** (145.1 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **6b** was isolated as a white solid (104 mg, 57%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.45 – 7.35 (m, 3H), 7.33 – 7.21 (m, 4H) 7.10 (dd, *J* = 6.7, 2.2 Hz, 1H), 3.48 (s, 2H), 3.35 – 3.23 (m, 4H), 2.54 (s, 3H), 2.22 – 2.08 (m, 4H), 1.46 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 154.7, 143.9, 142.2, 139.0, 133.7, 129.7, 129.7, 127.7, 127.6, 126.7, 126.6, 79.4, 56.1, 52.2, 43.5, 28.4, 20.2. **HRMS** (ESI +): *m/z* calculated for $C_{23}H_{31}N_2O_2$ $[M+H]^+$ 367.2380; found 367.2373. **IR** ν_{max} /cm^{-1}: 2976, 1692, 1420, 1168, 1124, 1002, 788, 703. **MP**: 78 – 79 ^{o}C.

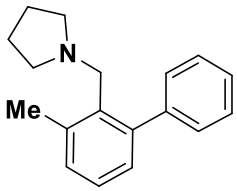
6c: 1-((3-Methyl-[1,1'-biphenyl]-2-yl)methyl)-4-phenylpiperazine



Prepared according to general procedure C, using 1-(2-methylbenzyl)-4-phenylpiperazine **5c** (133.1 mg, 0.5 mmol) and phenylboronic acid pinacol ester (143.0 mg, 0.7 mmol). **6c** was isolated as a white solid (105 mg, 61%).

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.47 – 7.31 (m, 5H), 7.30 – 7.23 (m, 4H), 7.13 (dd, *J* = 6.6, 2.3 Hz, 1H), 6.97 – 6.81 (m, 3H), 3.55 (s, 2H), 3.11 – 3.03 (m, 4H), 2.58 (s, 3H), 2.45 – 2.34 (m, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 151.4, 143.9, 142.3, 139.0, 133.9, 129.8, 129.7, 129.0, 127.7, 127.6, 126.6 (2 xC), 119.4, 115.9, 56.1, 52.5, 49.3, 20.2. **HRMS** (ESI +): *m/z* calculated for $C_{24}H_{27}N_2$ $[M+H]^+$ 343.2169; found 343.2166. **IR** ν_{max} /cm^{-1}: 2816, 1599, 1495, 1228, 1005, 758, 703. **MP**: 79 – 80 ^{o}C.

6d: 1-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)pyrrolidine

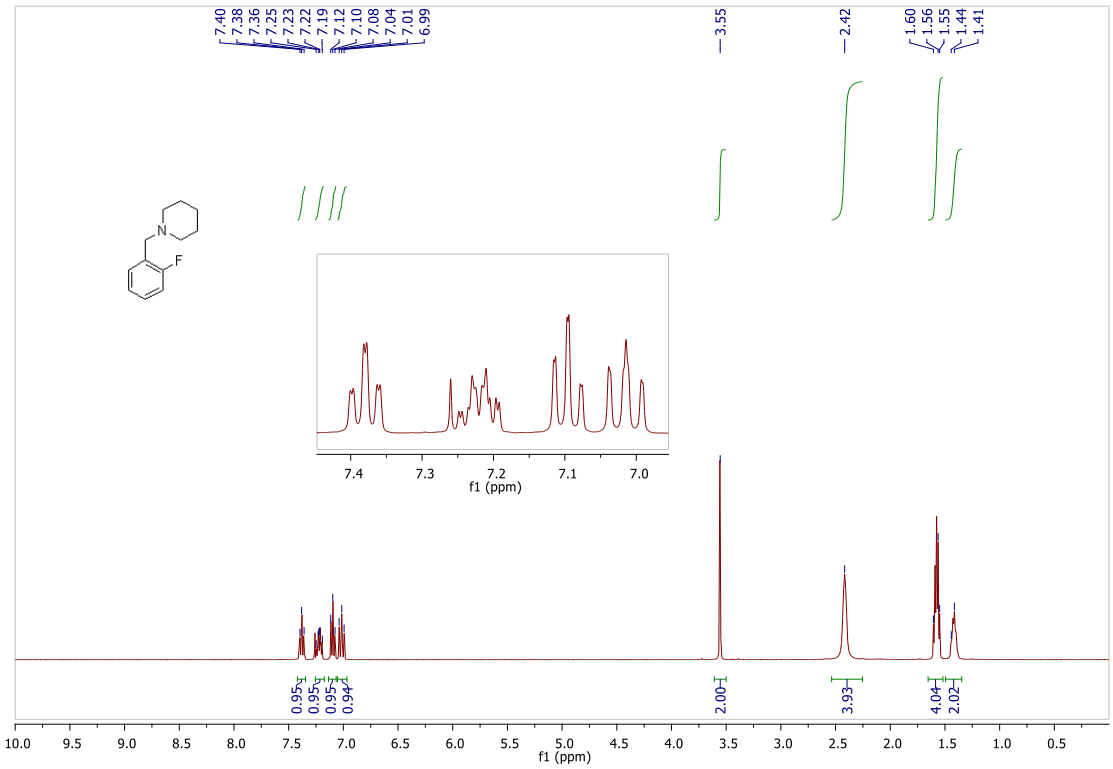


Prepared according to general procedure C, using 1-(2-methylbenzyl)pyrrolidine **5d** (87.6 mg, 0.5 mmol) and phenylboronic acid pinacol ester (224.7 mg, 1.1 mmol). **6d** was isolated as a yellow oil (33 mg, 26%)

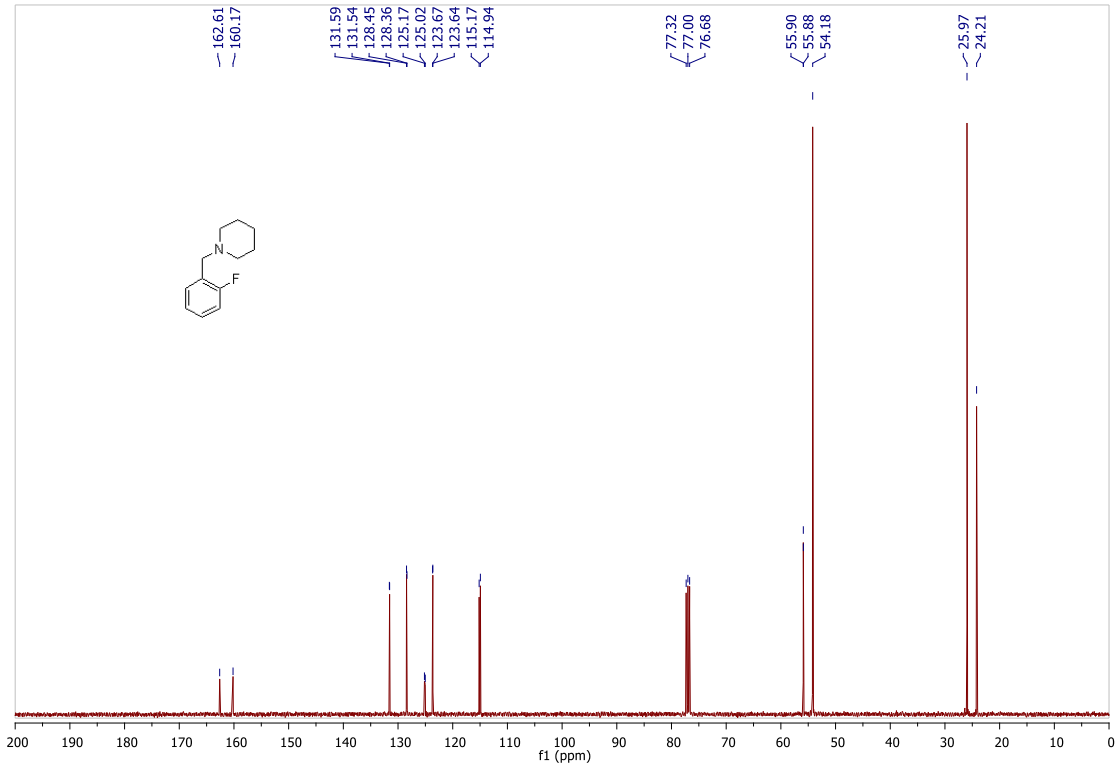
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.42 – 7.28 (m, 5H), 7.22 – 7.17 (m, 2H), 7.09 – 7.03 (m, 1H), 3.59 (s, 1H), 2.53 (s, 3H), 2.25 (br.s, 4H), 1.67 – 1.52 (m, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 143.0, 142.5, 138.8, 135.4, 130.0, 129.6, 127.7, 127.6, 126.5, 126.3, 53.7, 53.3, 23.5, 20.0. **HRMS** (ESI +): *m/z* calculated for $C_{18}H_{22}N$ $[M+H]^+$ 252.1747; found 252.1742. **IR** ν_{max} /cm^{-1}: 3057, 2961, 1495, 759, 702.

6. ^{1}H , ^{13}C, ^{19}F spectra for Novel Benzylic heterocycles 1 & 5

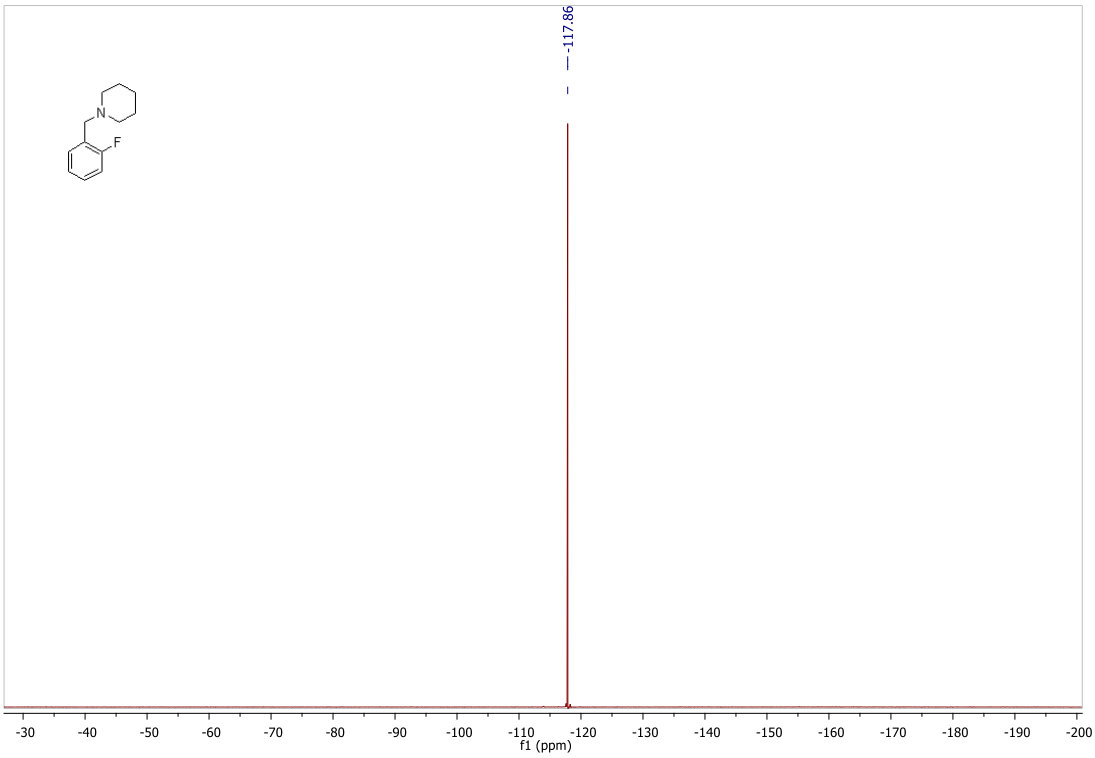
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-fluorobenzyl)piperidine (**1c**)



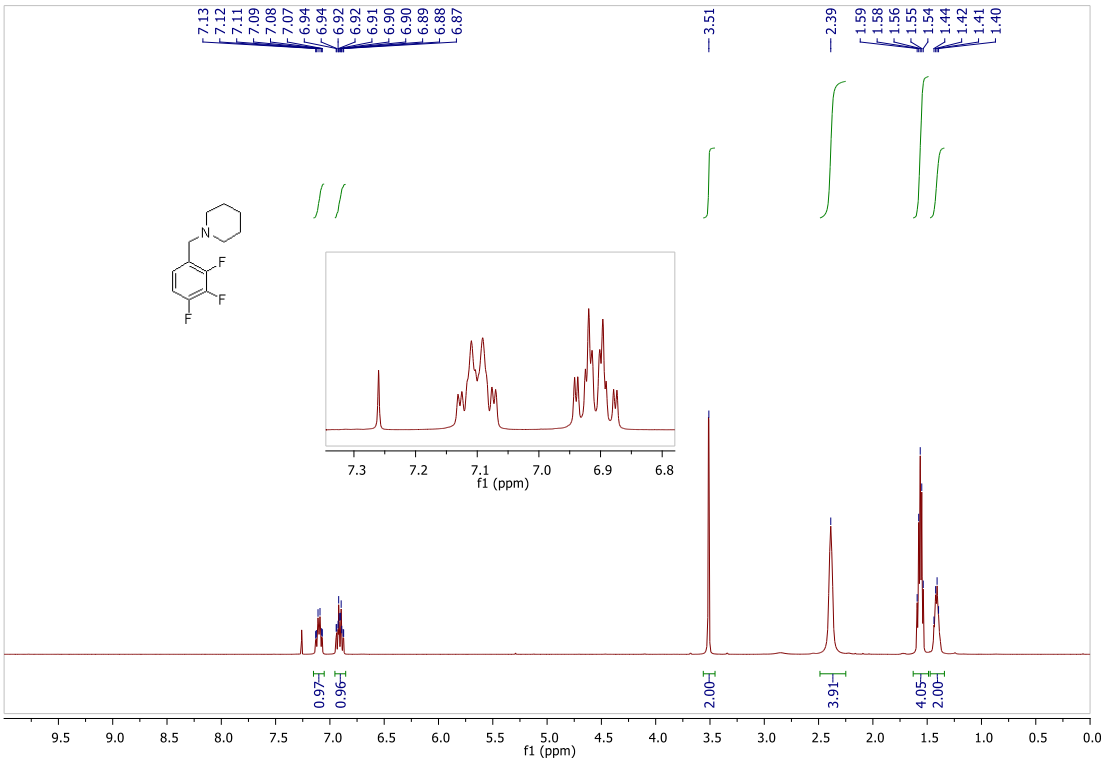
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-fluorobenzyl)piperidine (**1c**)



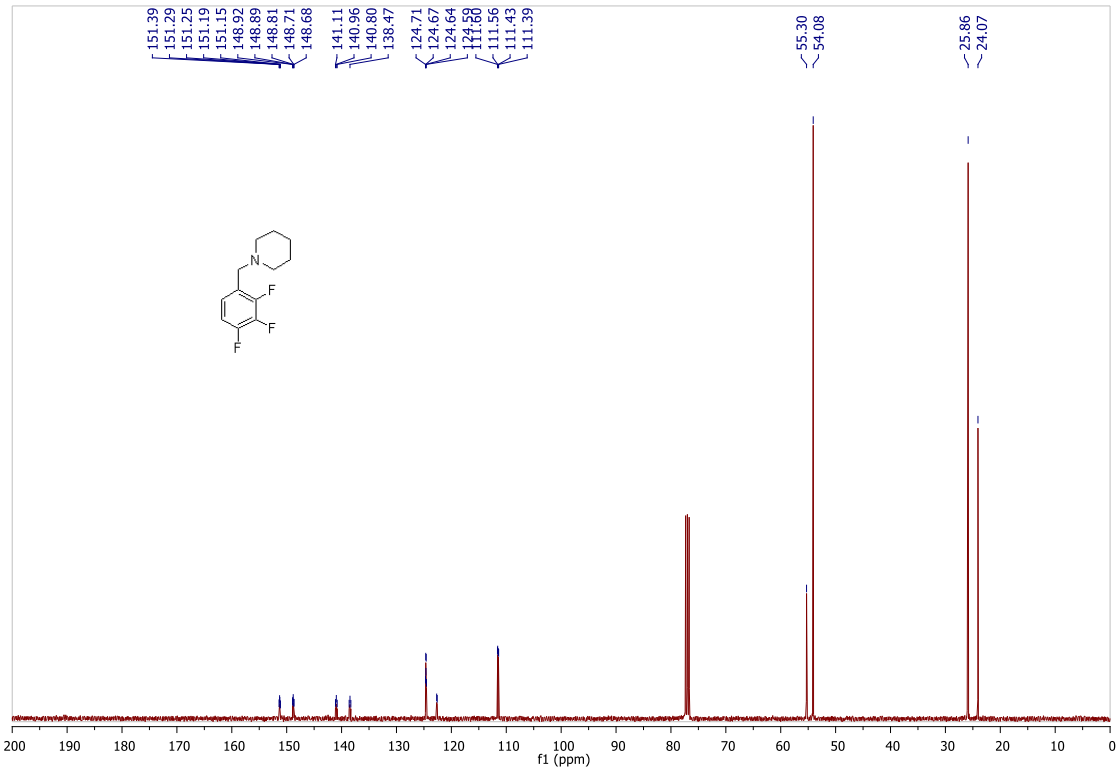
^{19}F NMR (377 MHz, $CDCl_3$) of 1-(2-fluorobenzyl)piperidine (**1c**)



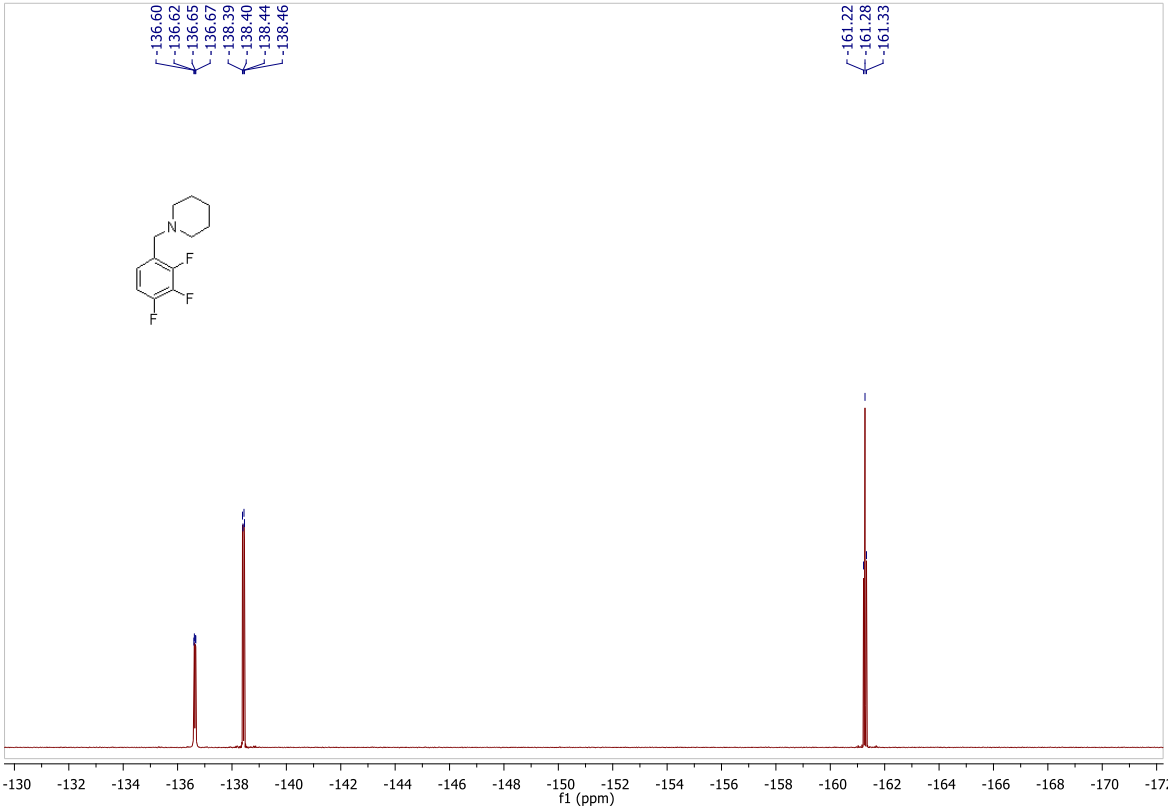
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2,3,4-trifluorobenzyl)piperidine (**1l**)



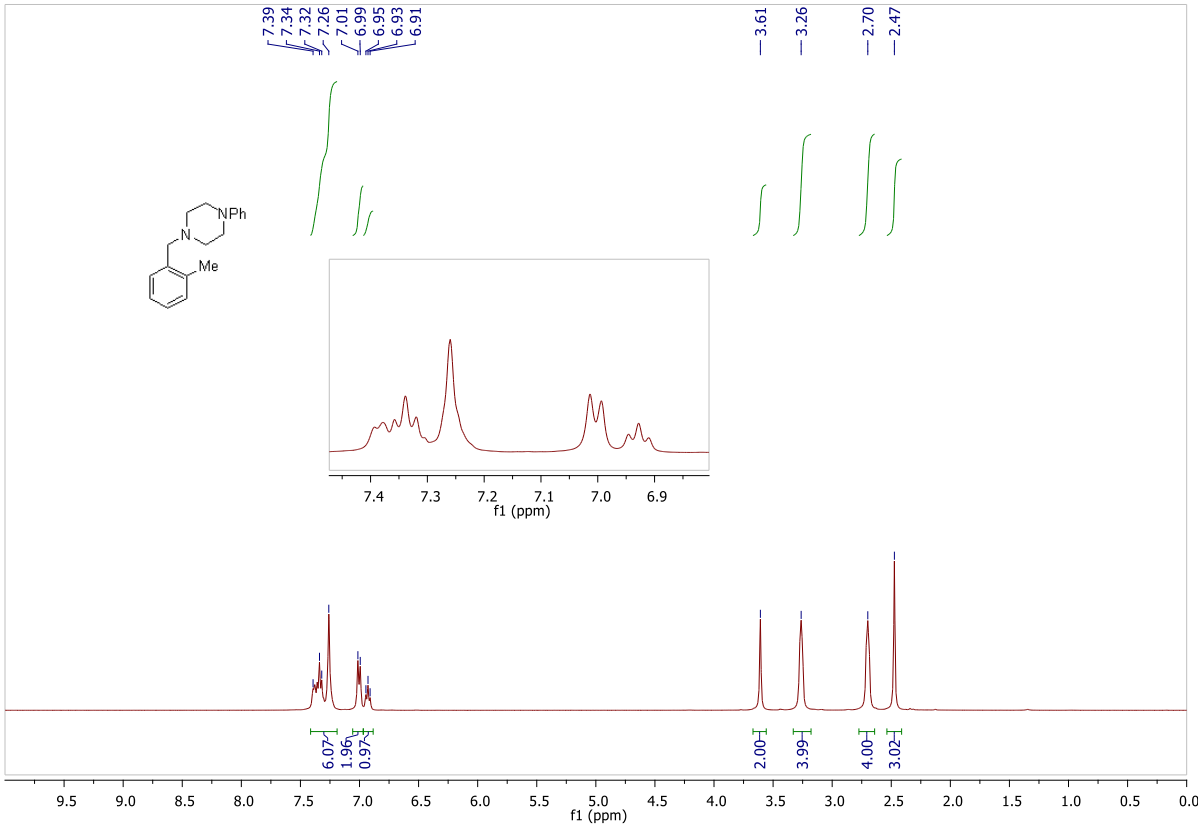
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-fluorobenzyl)piperidine (**1l**)



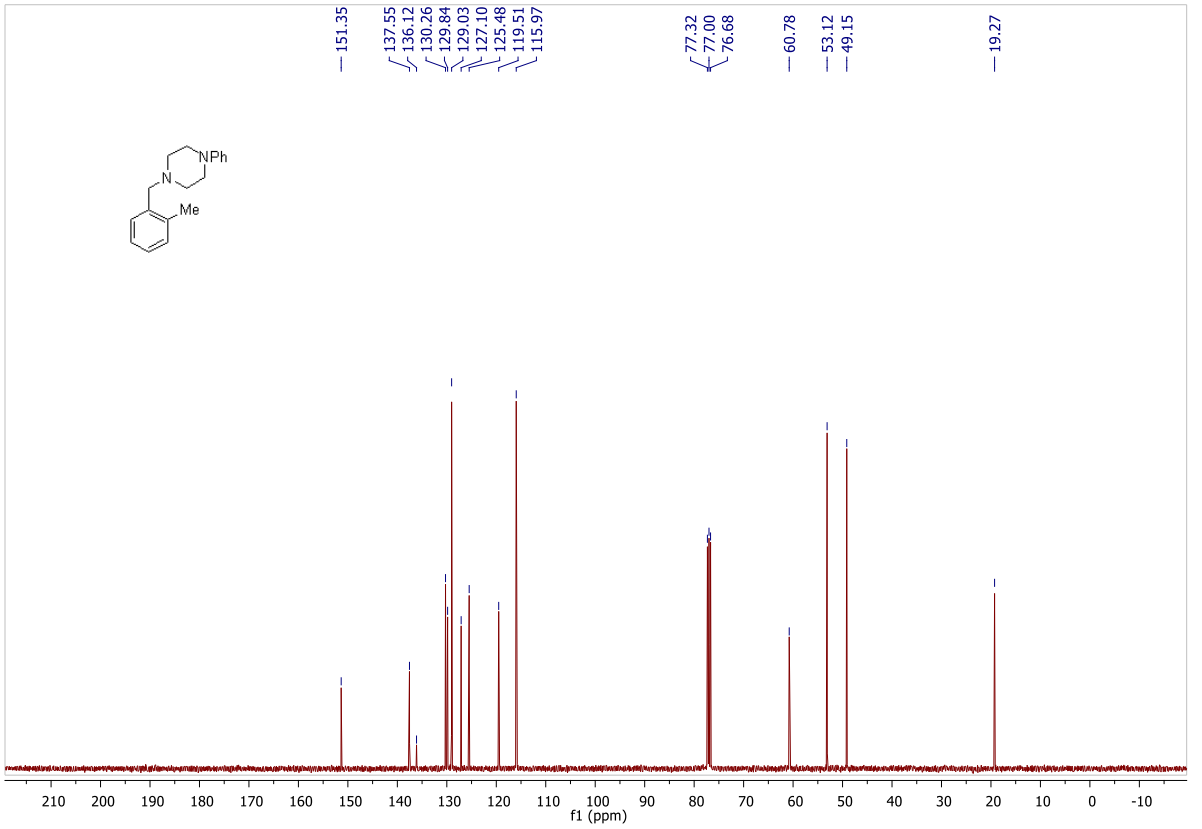
^{19}F NMR (377 MHz, $CDCl_3$) of 1-(2,3,4-trifluorobenzyl)piperidine (**1l**)



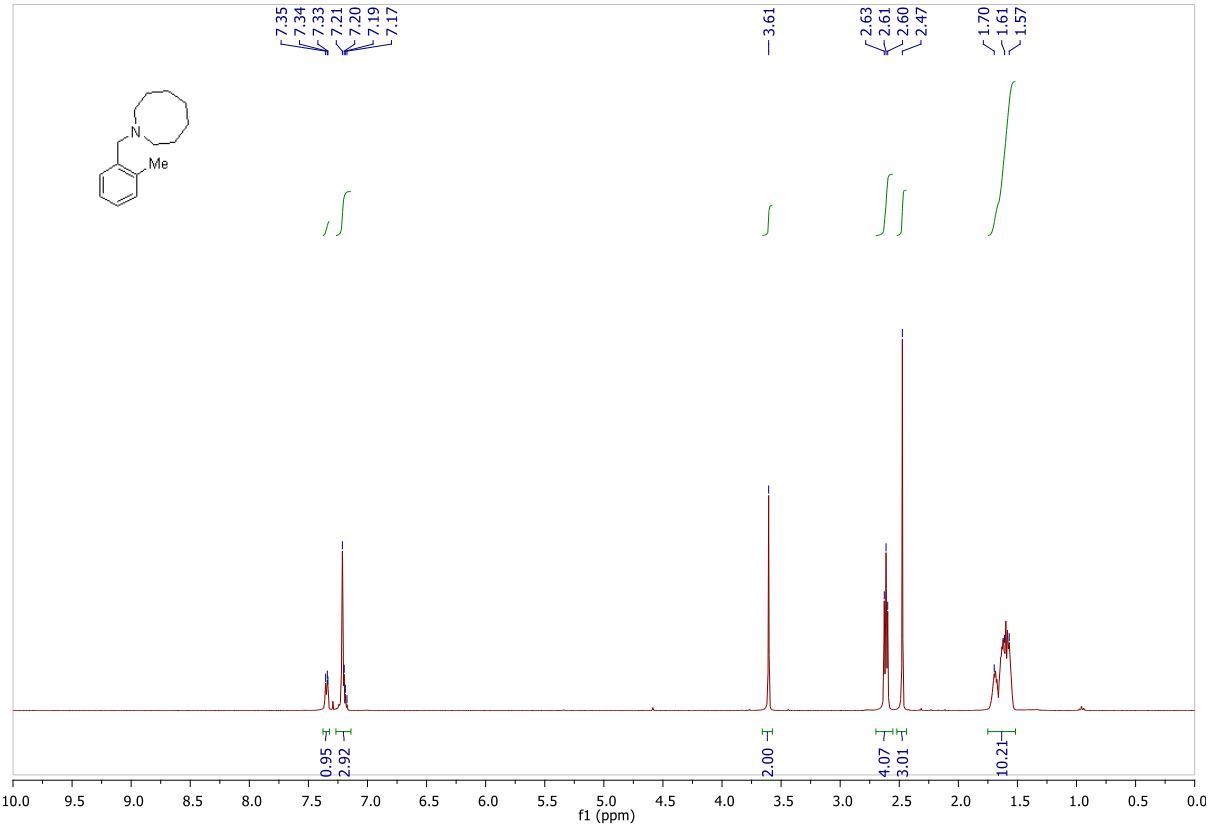
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-methylbenzyl)-4-phenylpiperazine (**5c**)



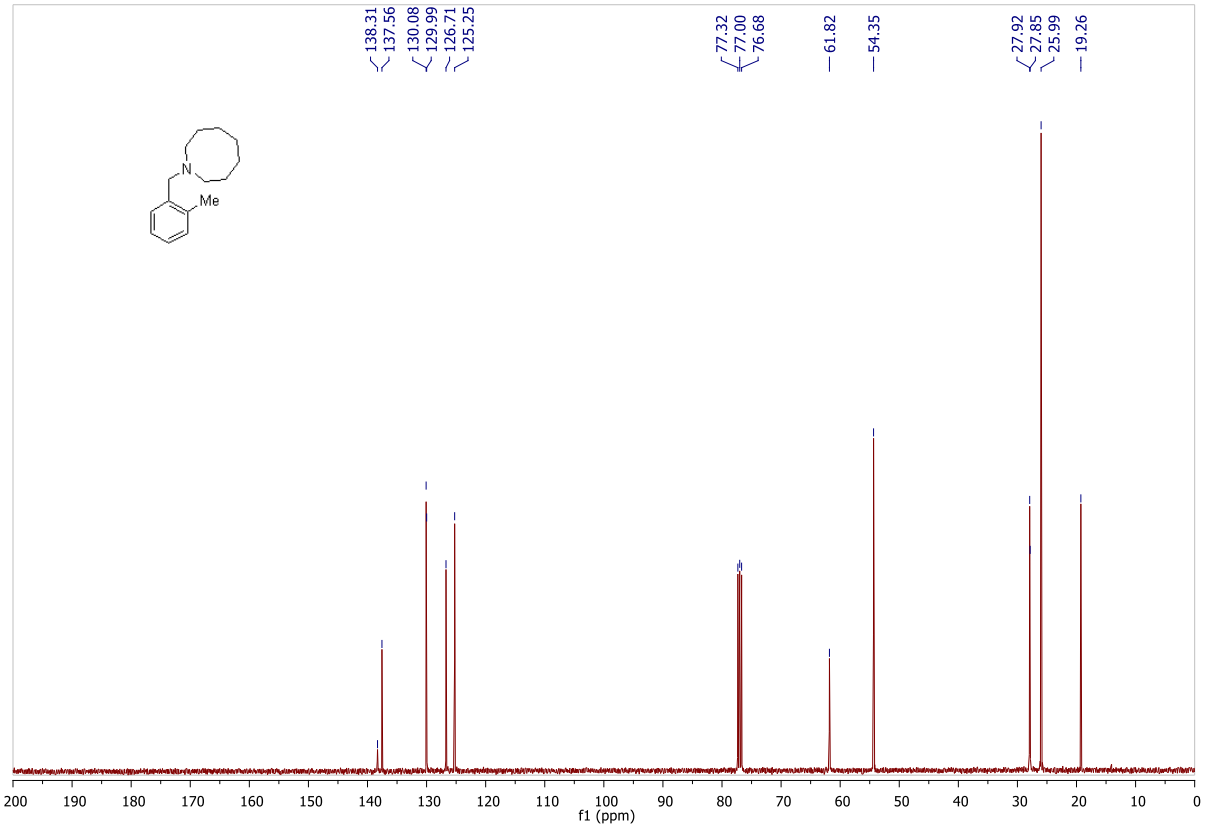
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-methylbenzyl)-4-phenylpiperazine (**5c**)



^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-methylbenzyl)azocane (**5f**)

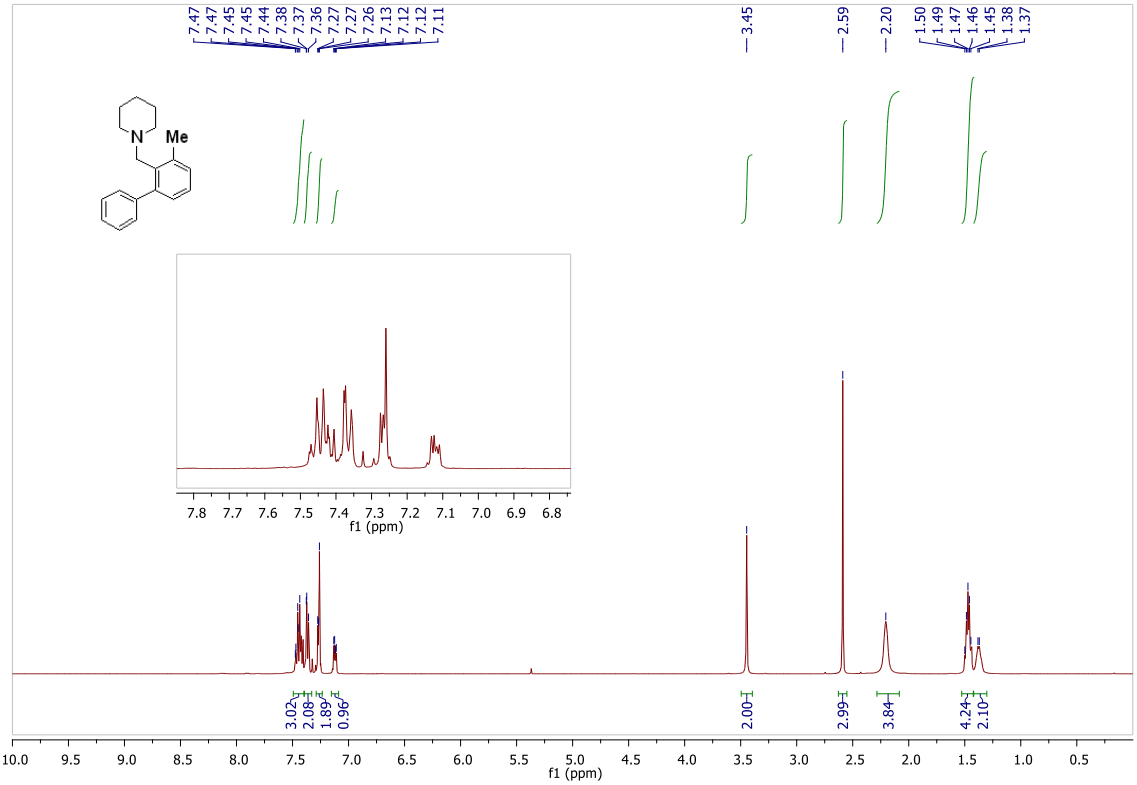


^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-methylbenzyl)azocane (**5f**)

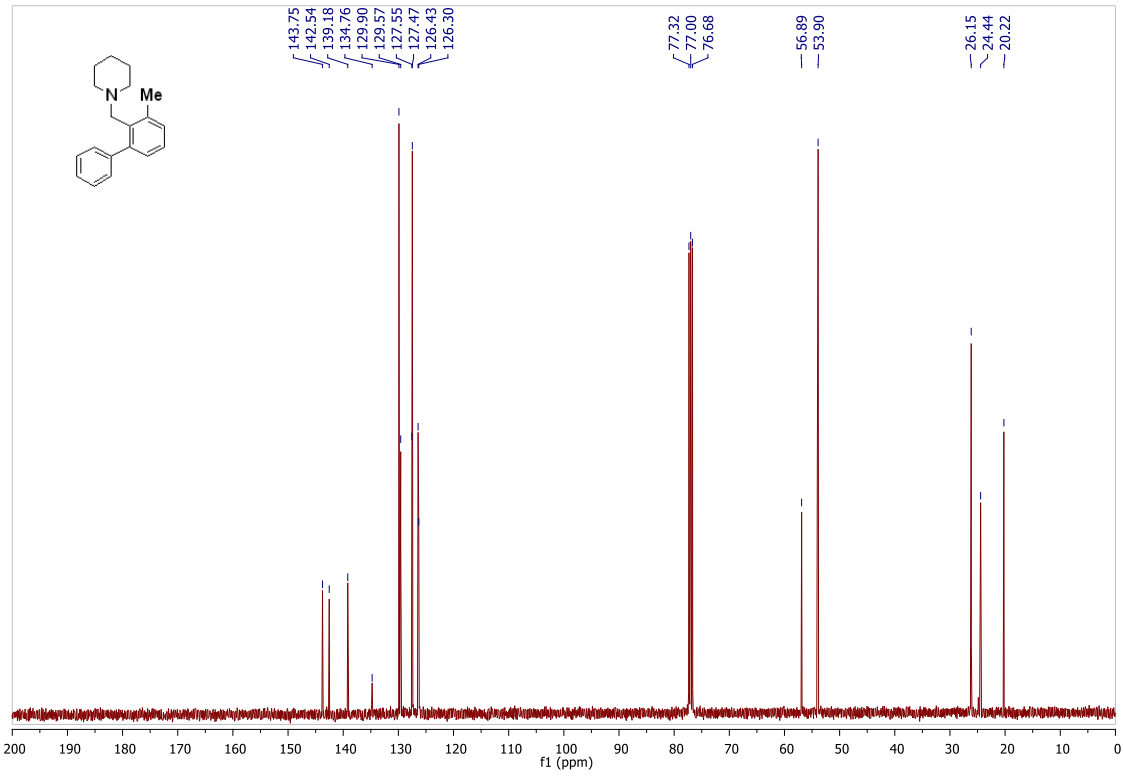


7. ^{1}H , ^{13}C, ^{19}F spectra of Compound 3, 4 & 6

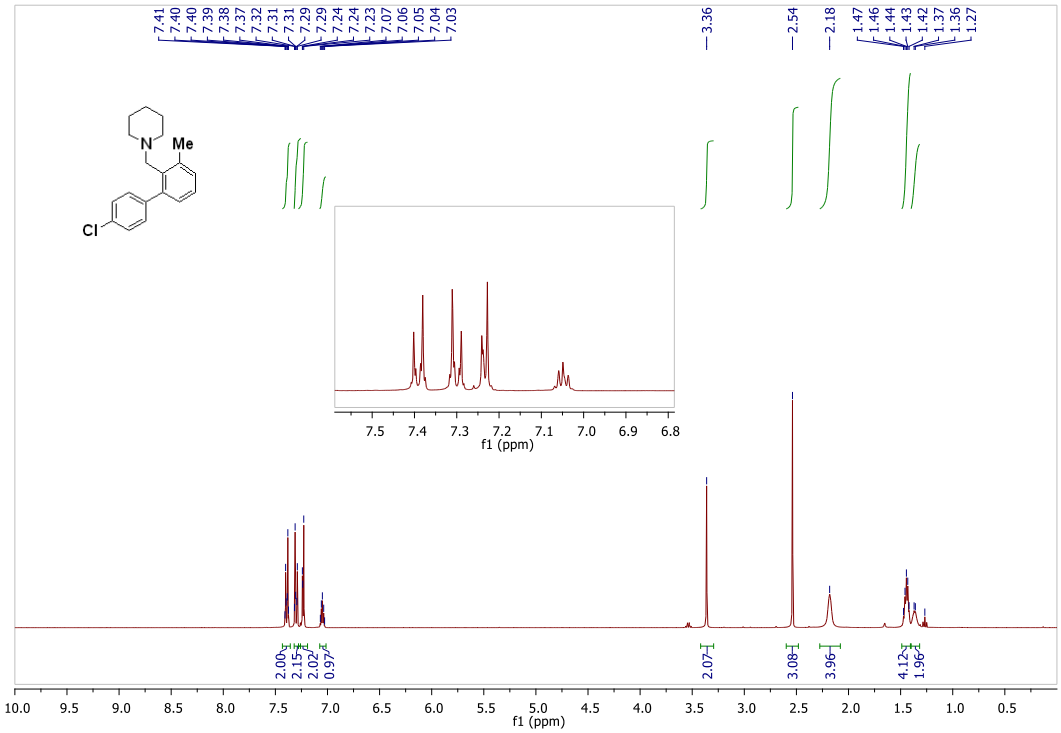
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3a**)



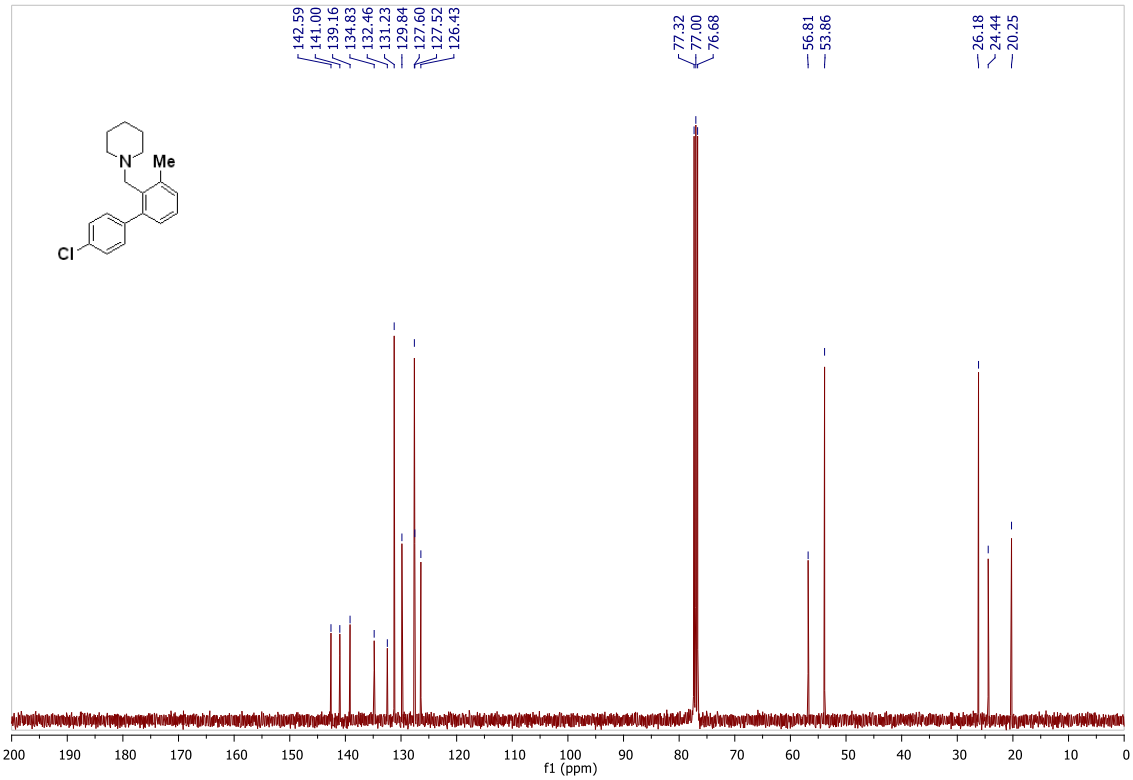
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3a**)



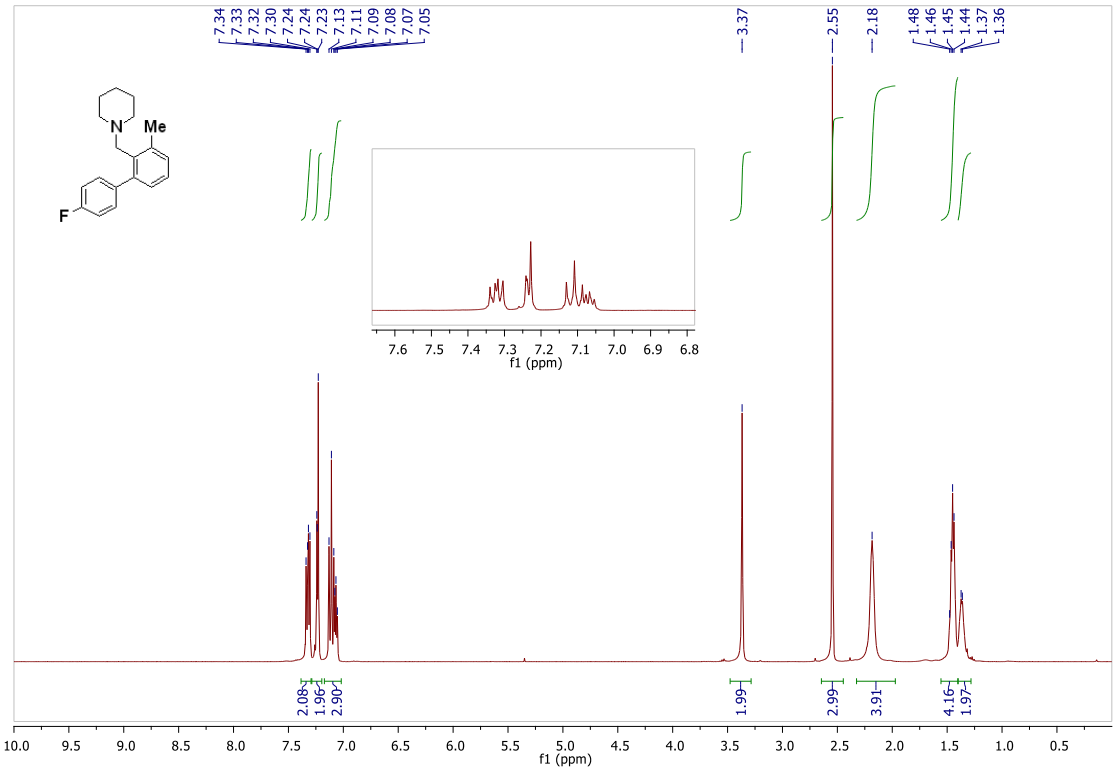
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4'-chloro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3b**)



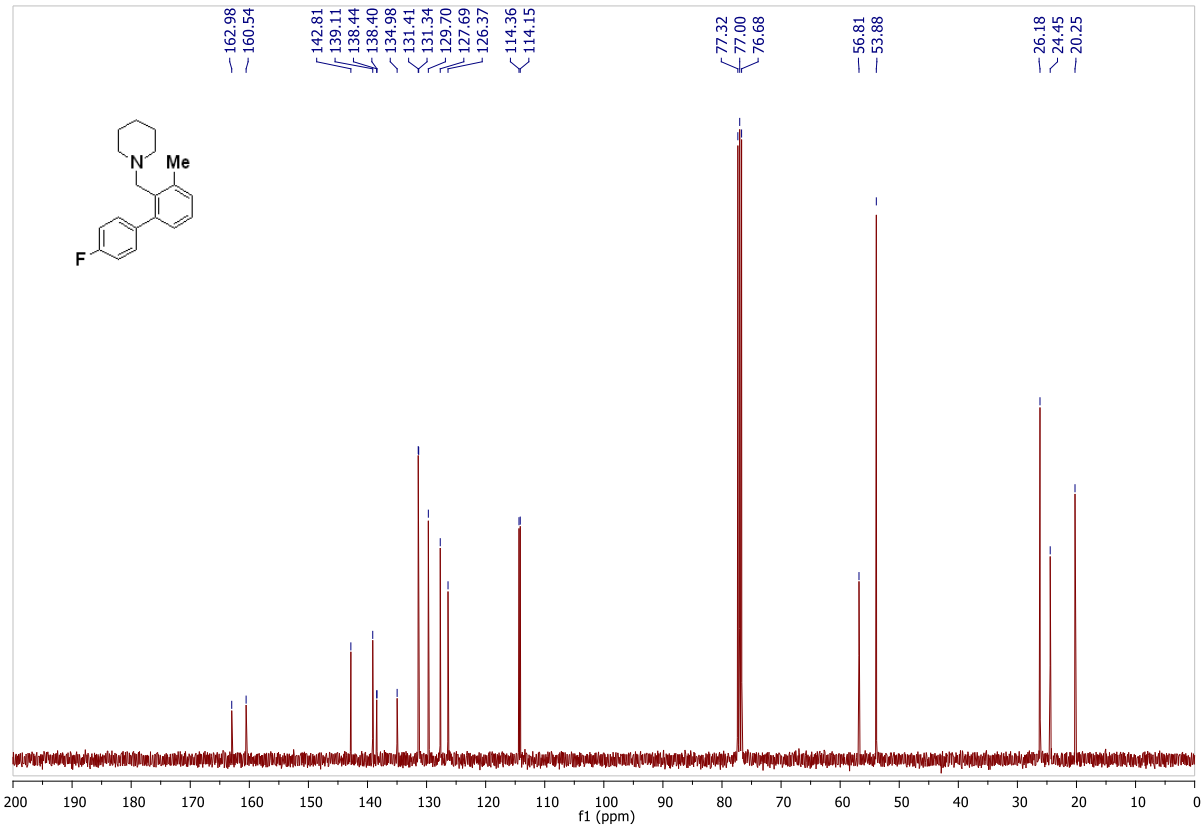
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4'-chloro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3b**)



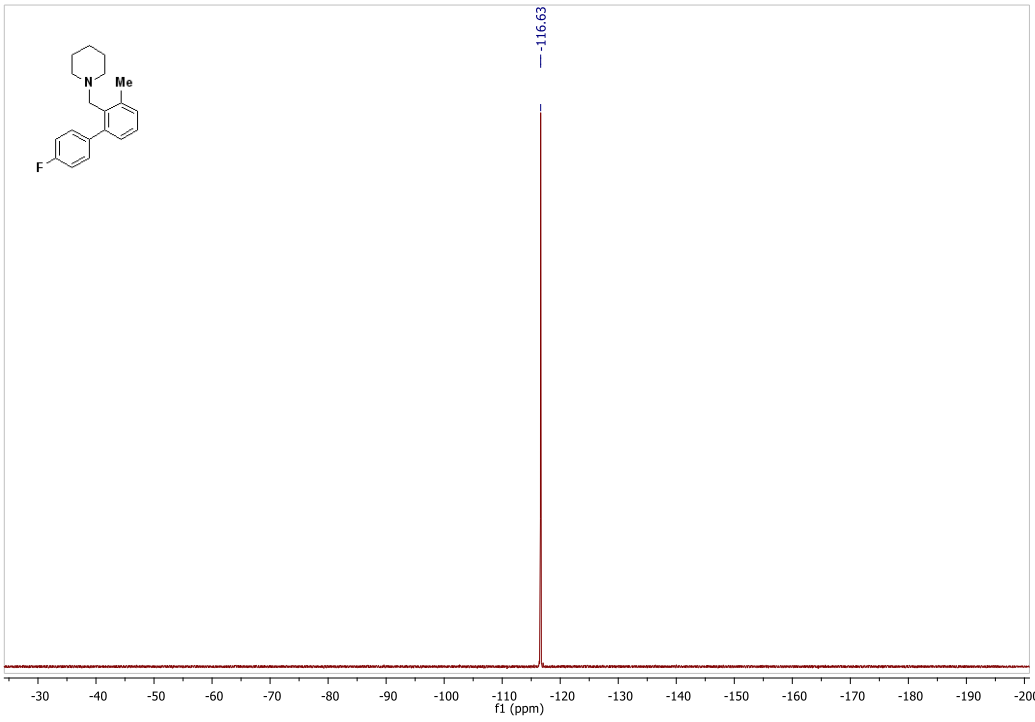
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4'-Fluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3c**)



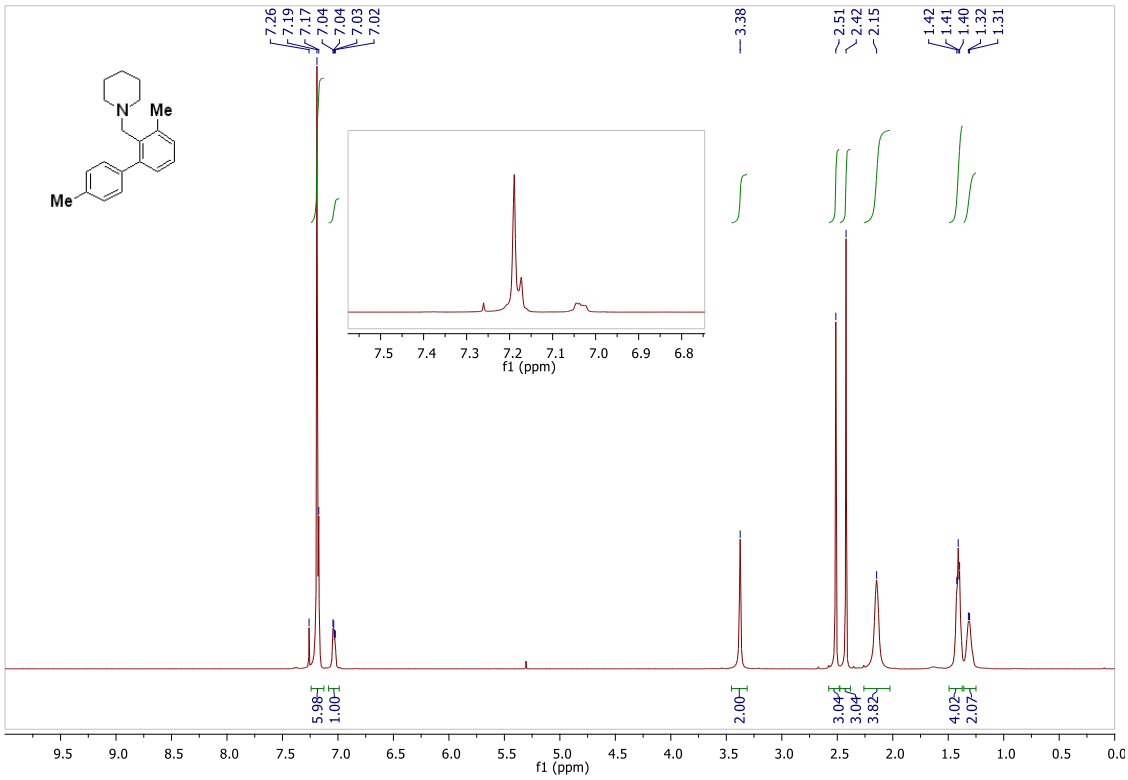
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4'-Fluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3c**)



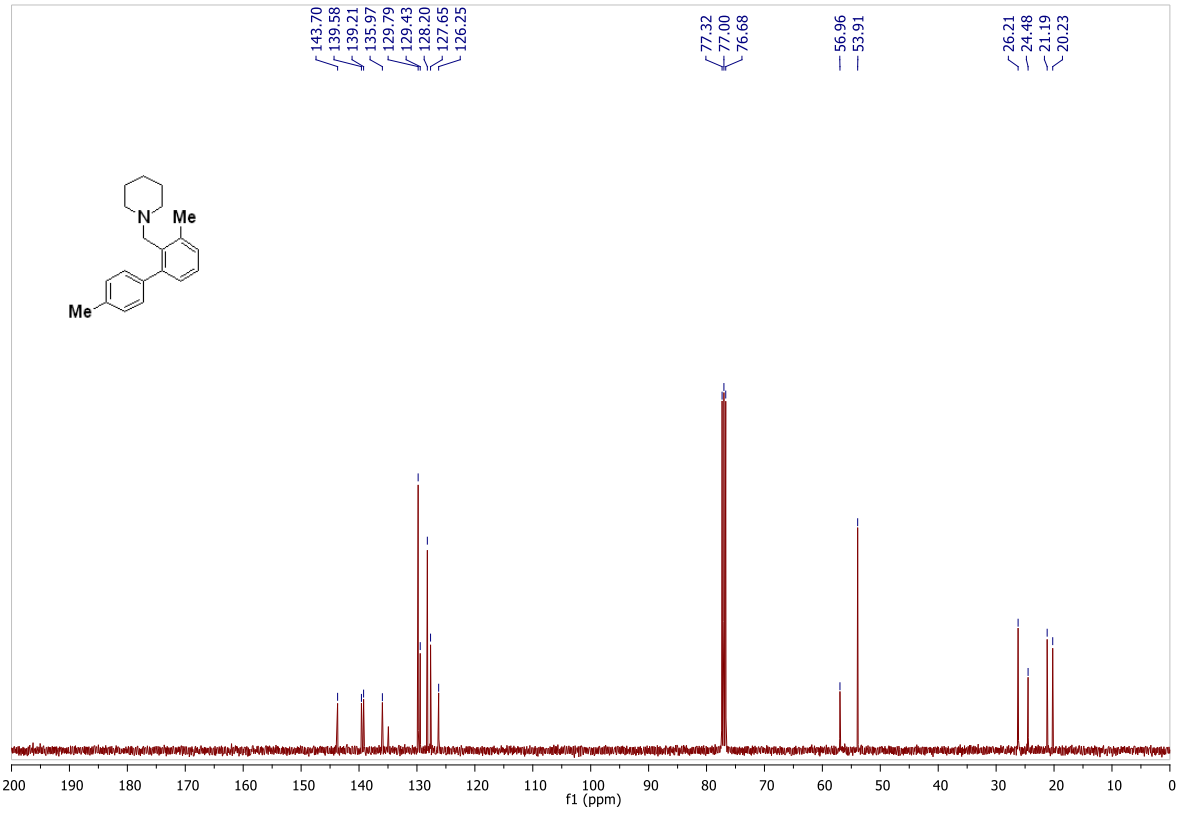
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((4'-Fluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3c**)



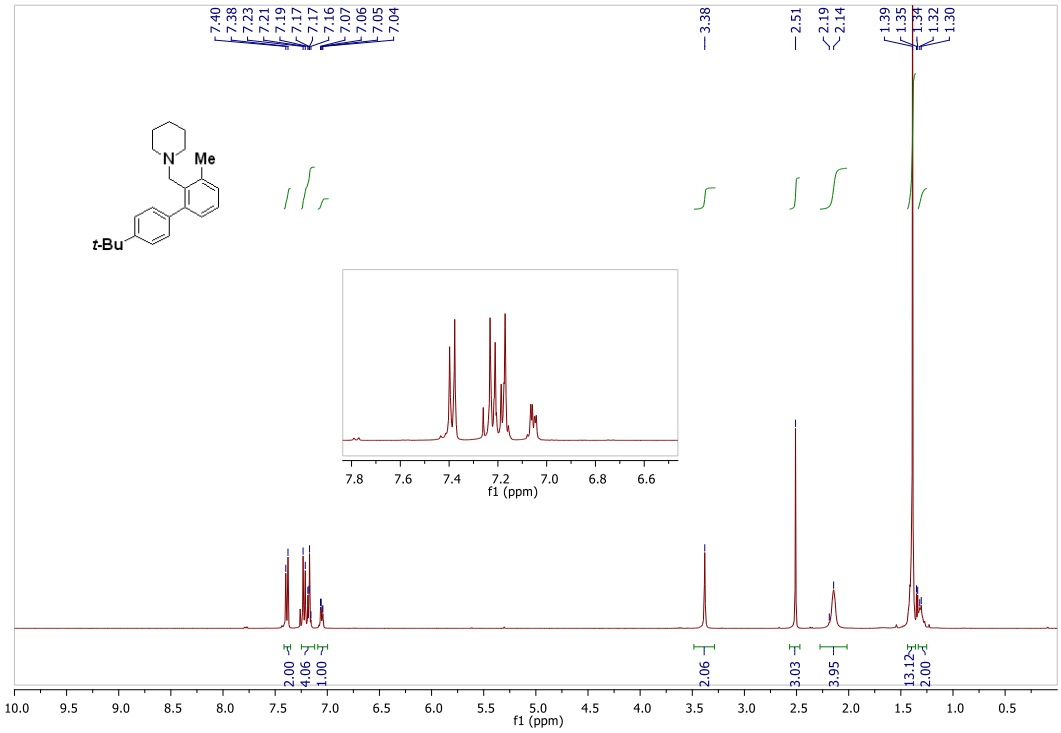
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3,4'-Dimethyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3d**)



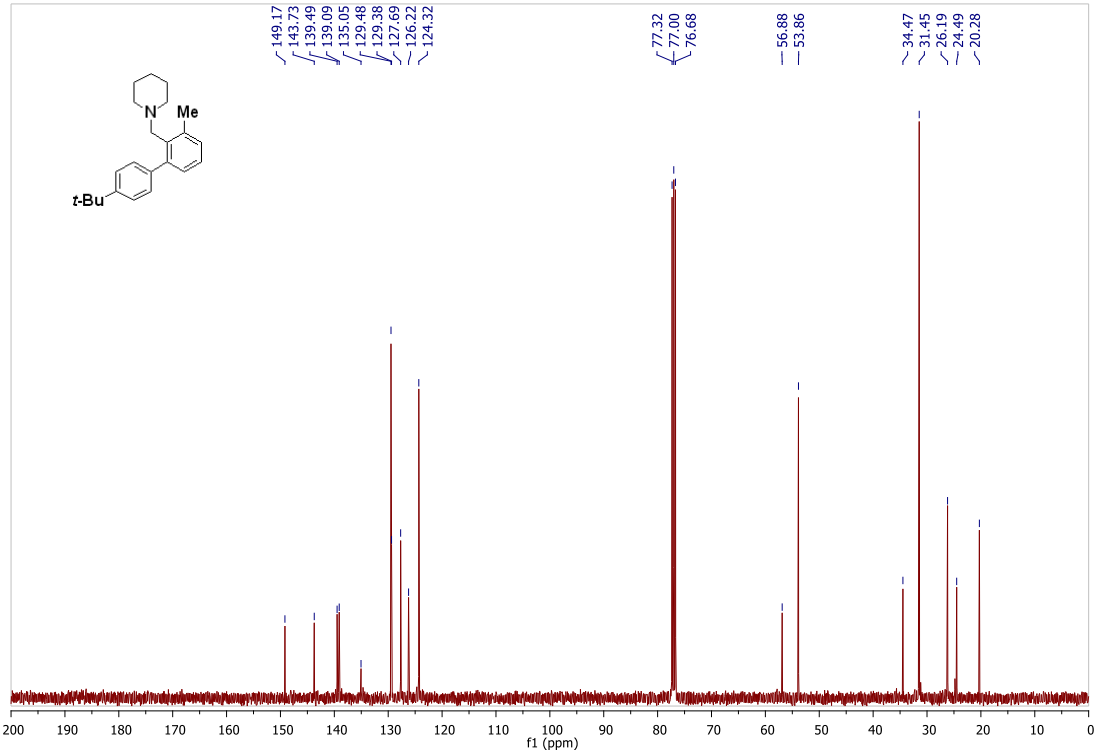
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3,4'-Dimethyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3d**)



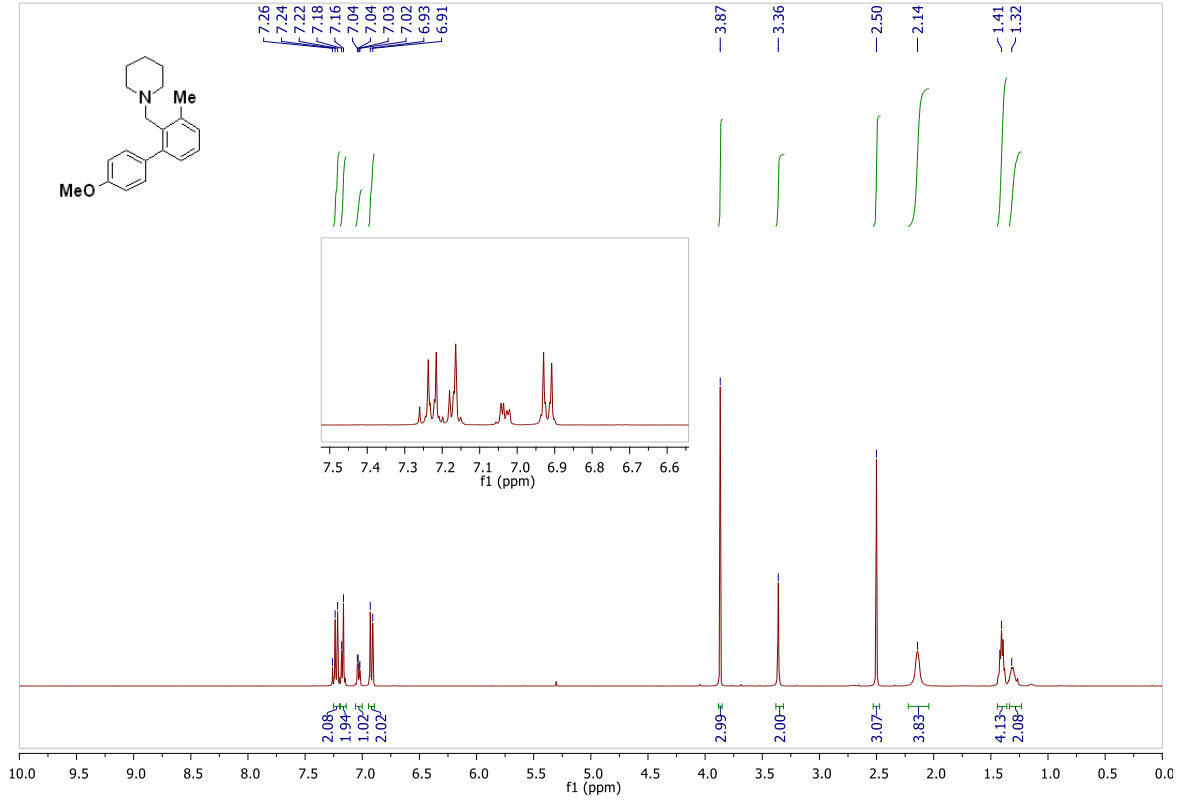
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4'-(*t*-butyl)-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3e**)



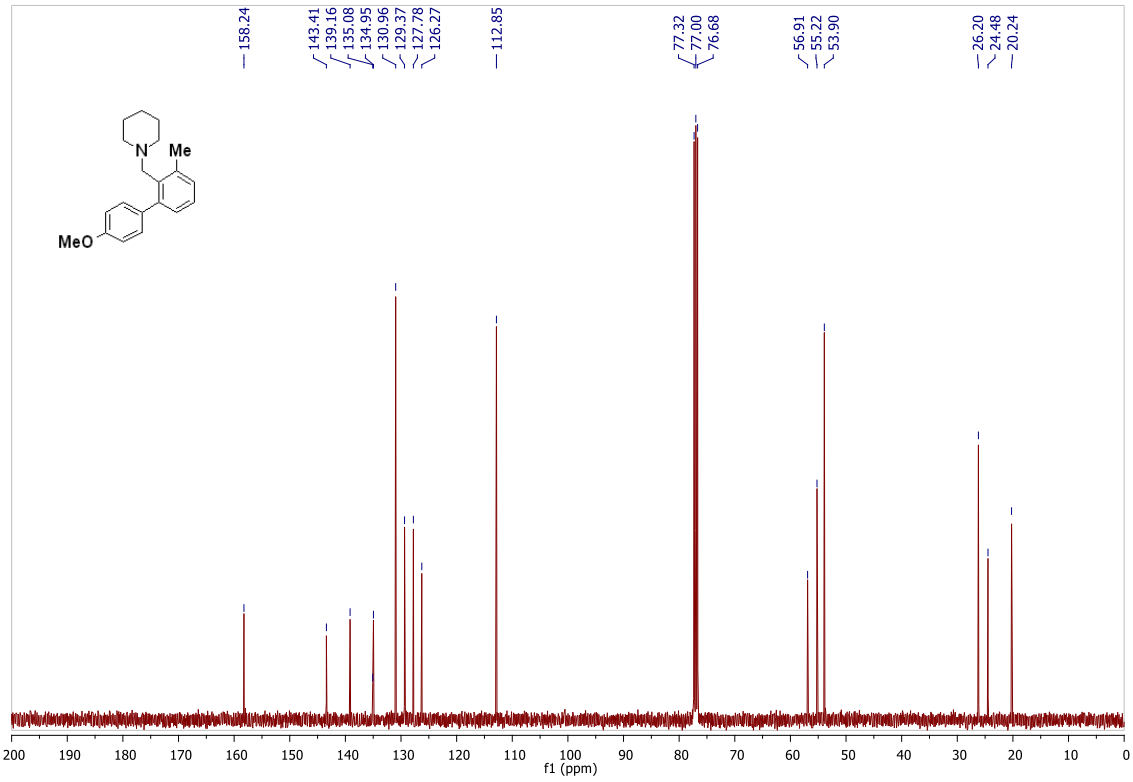
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4'-(*t*-butyl)-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3e**)



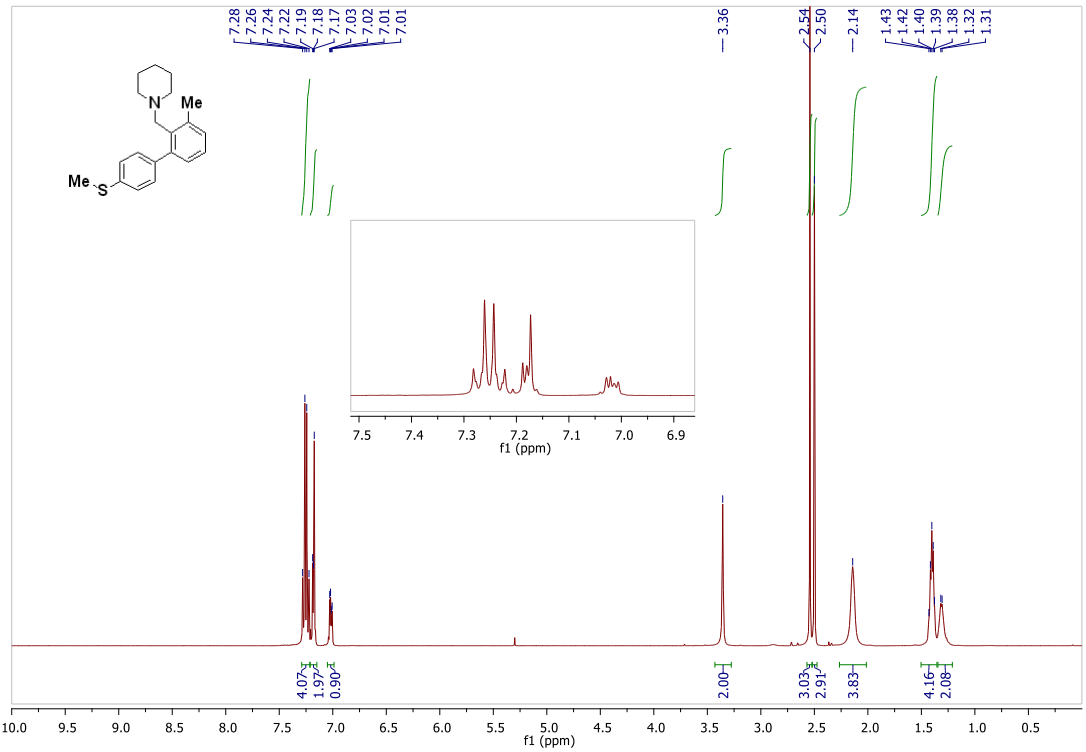
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4'-Methoxy-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3f**)



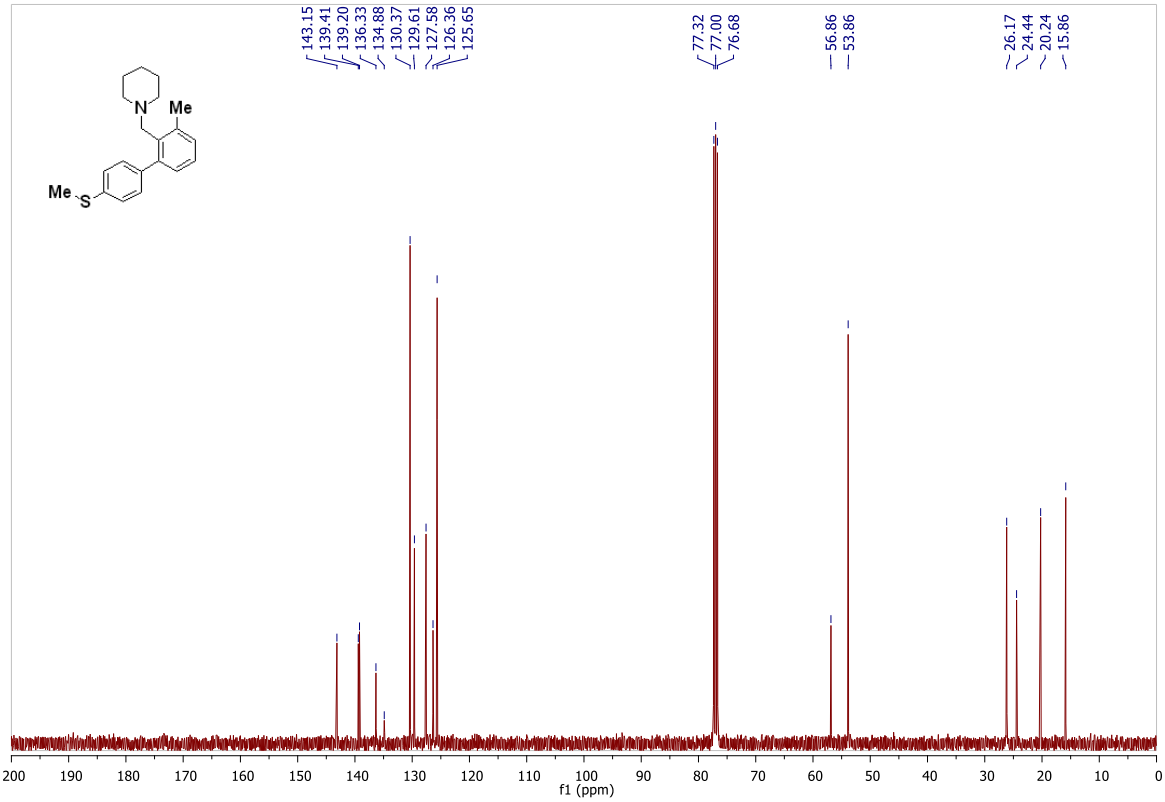
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4'-Methoxy-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3f**)



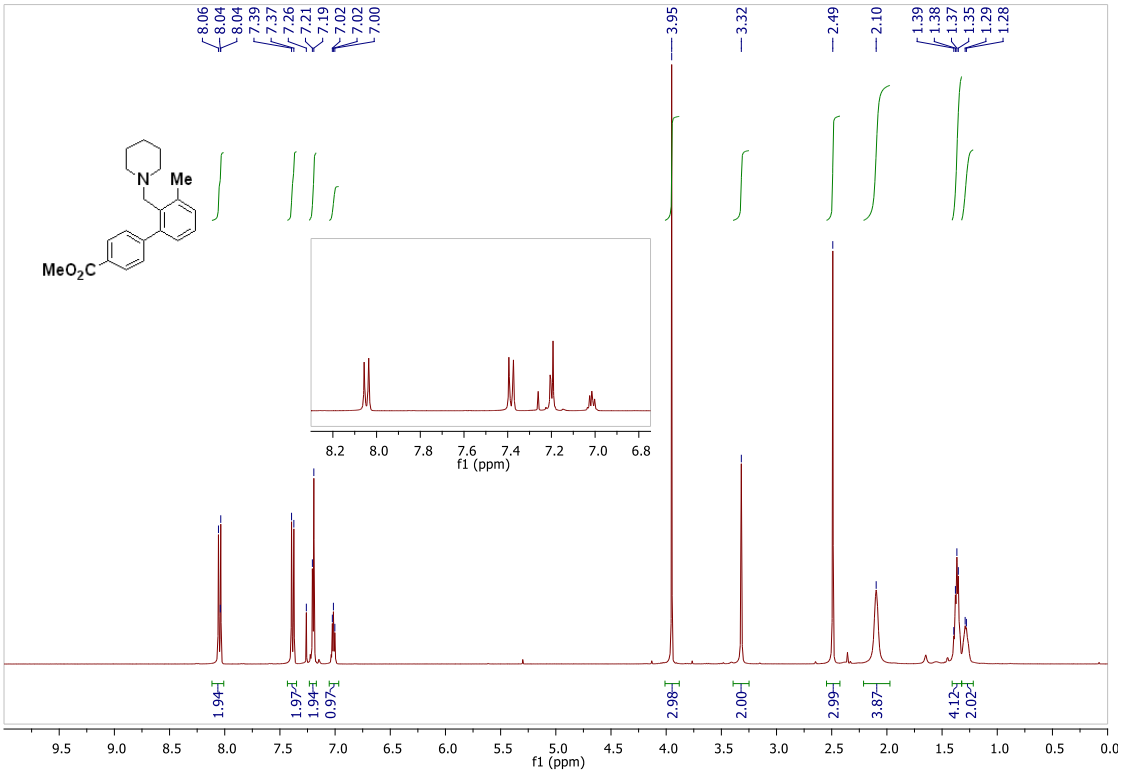
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-methyl-4'-(methylthio)-[1,1'-biphenyl]-2-yl)methyl)piperidine **(3g)**



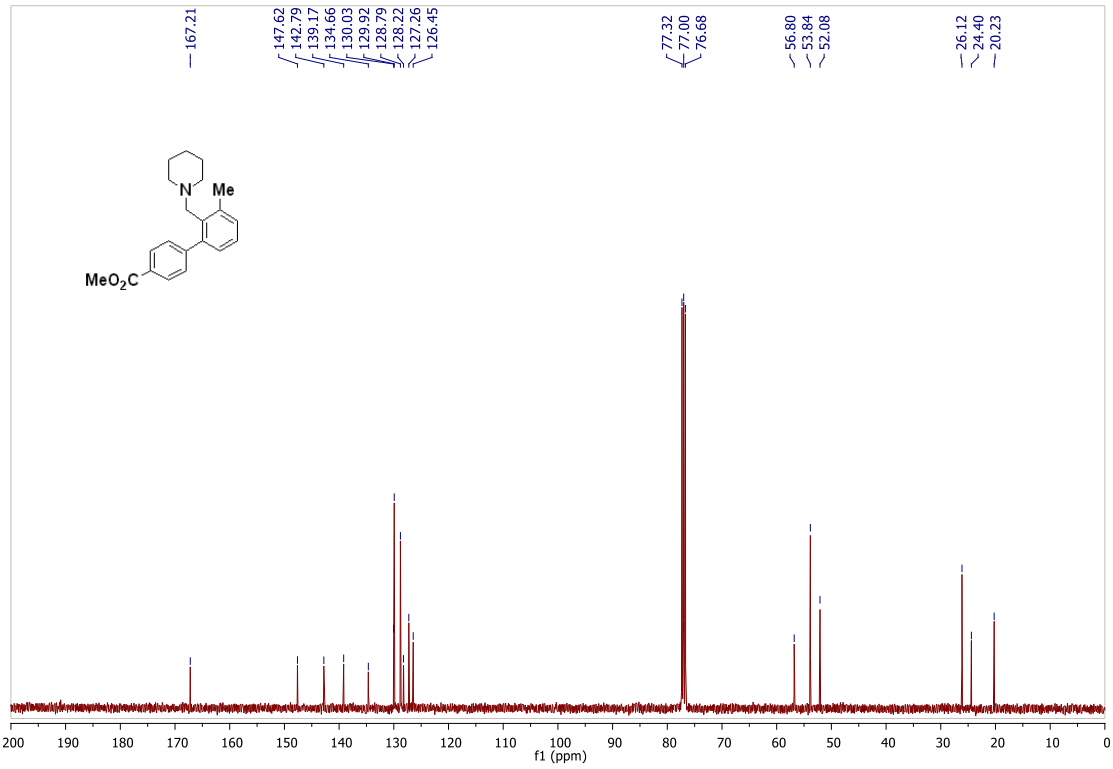
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-methyl-4'-(methylthio)-[1,1'-biphenyl]-2-yl)methyl)piperidine **(3g)**



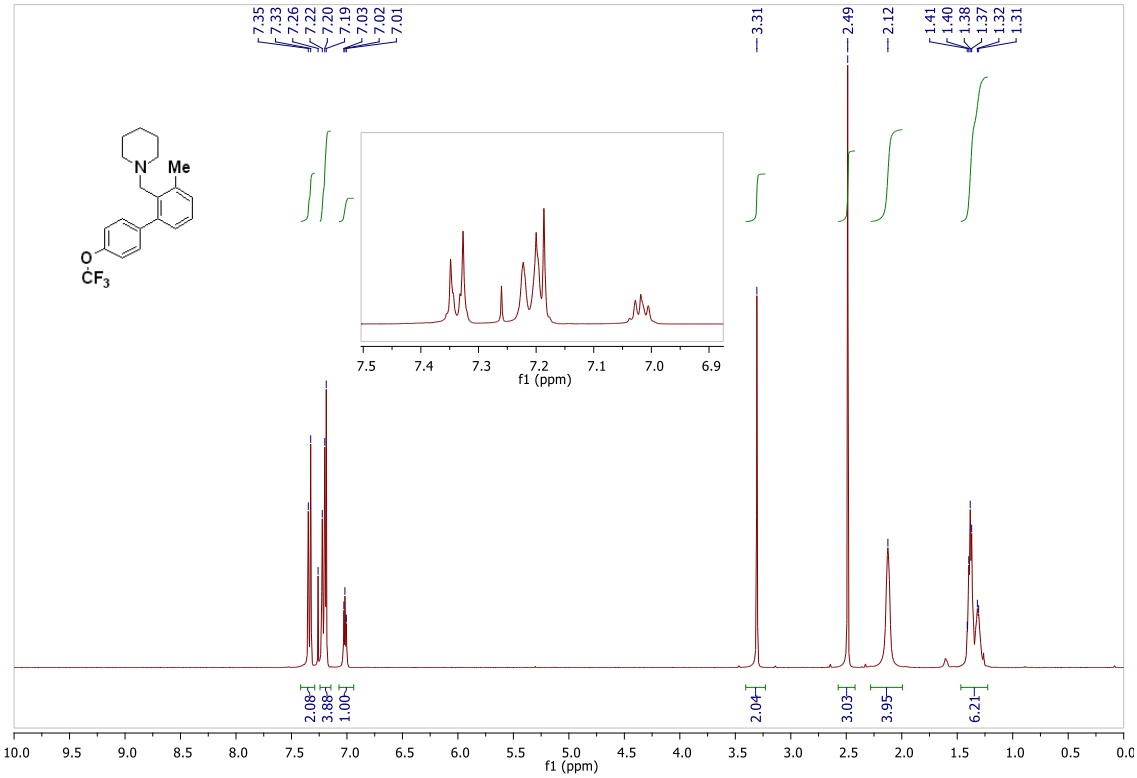
^{1}H NMR (400 MHz, $CDCl_3$) of Methyl 3'-methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-4-carboxylate (**3h**)



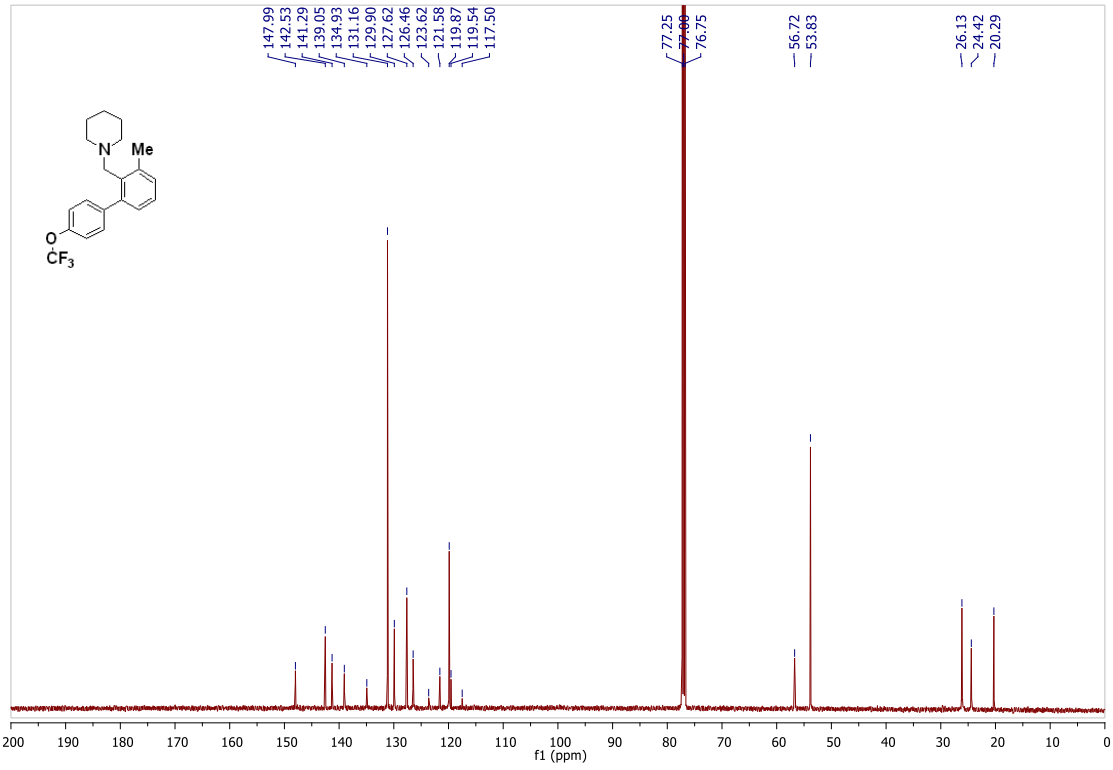
^{13}C NMR (101 MHz, $CDCl_3$) of Methyl 3'-methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-4-carboxylate (**3h**)



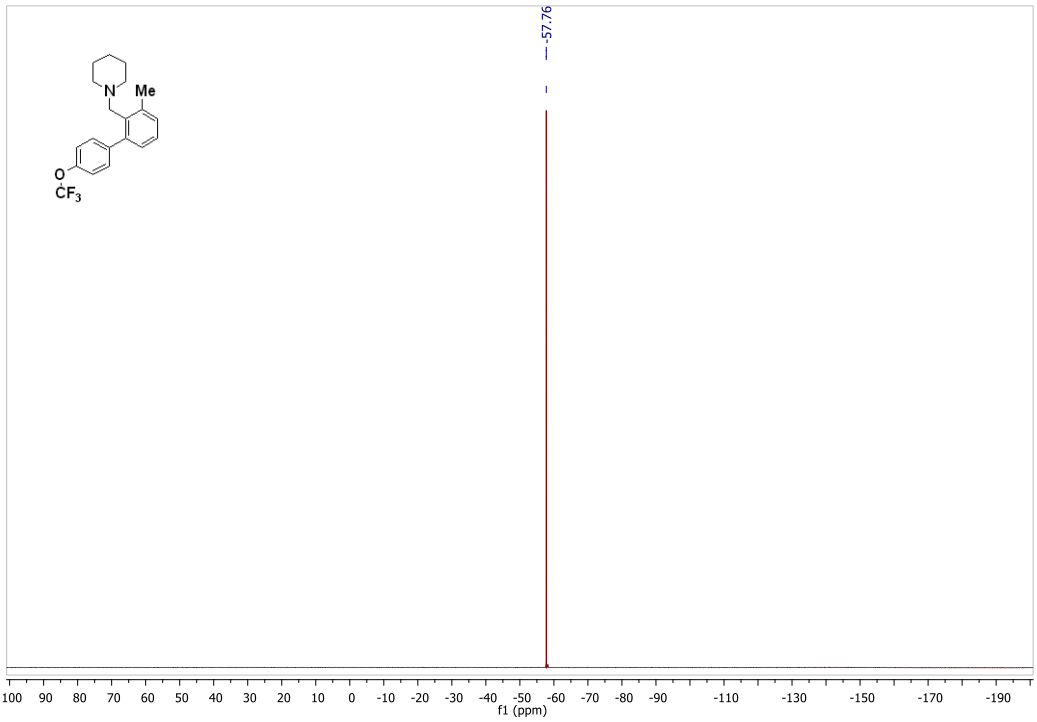
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-Methyl-4'-(trifluoromethoxy)-[1,1'-biphe3nyl]-2-yl)methyl)piperidine (**3i**)



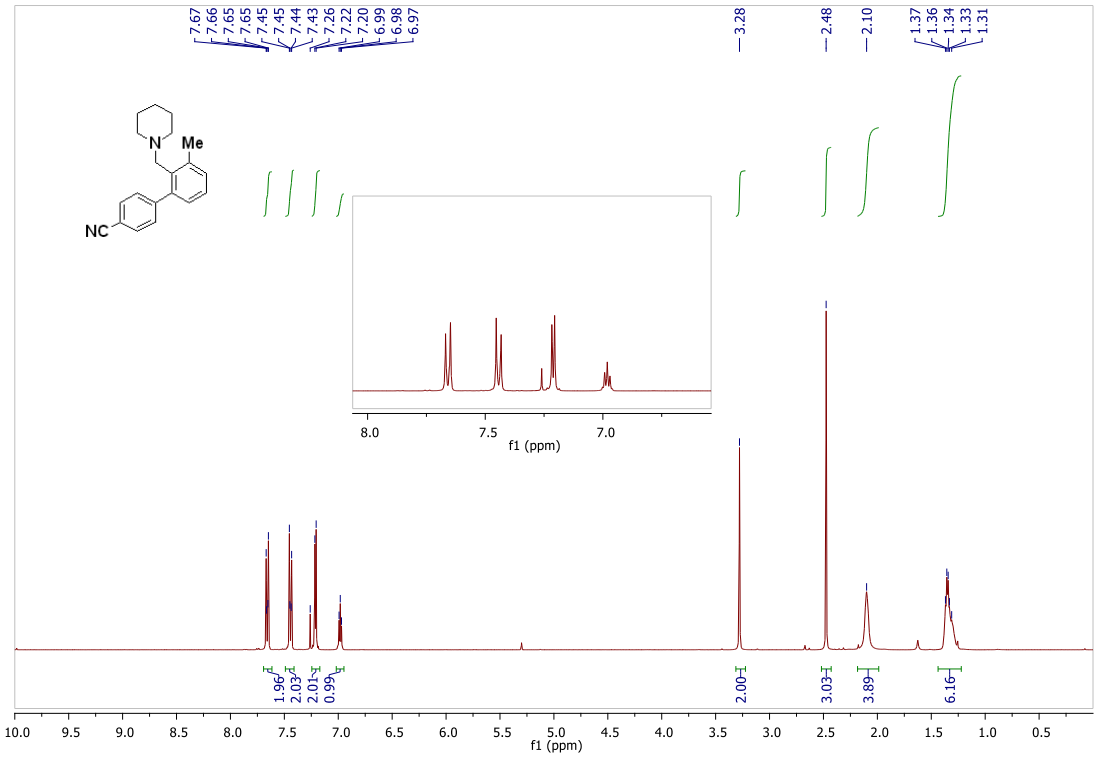
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-Methyl-4'-(trifluoromethoxy)-[1,1'-biphe3nyl]-2-yl)methyl)piperidine (**3i**)



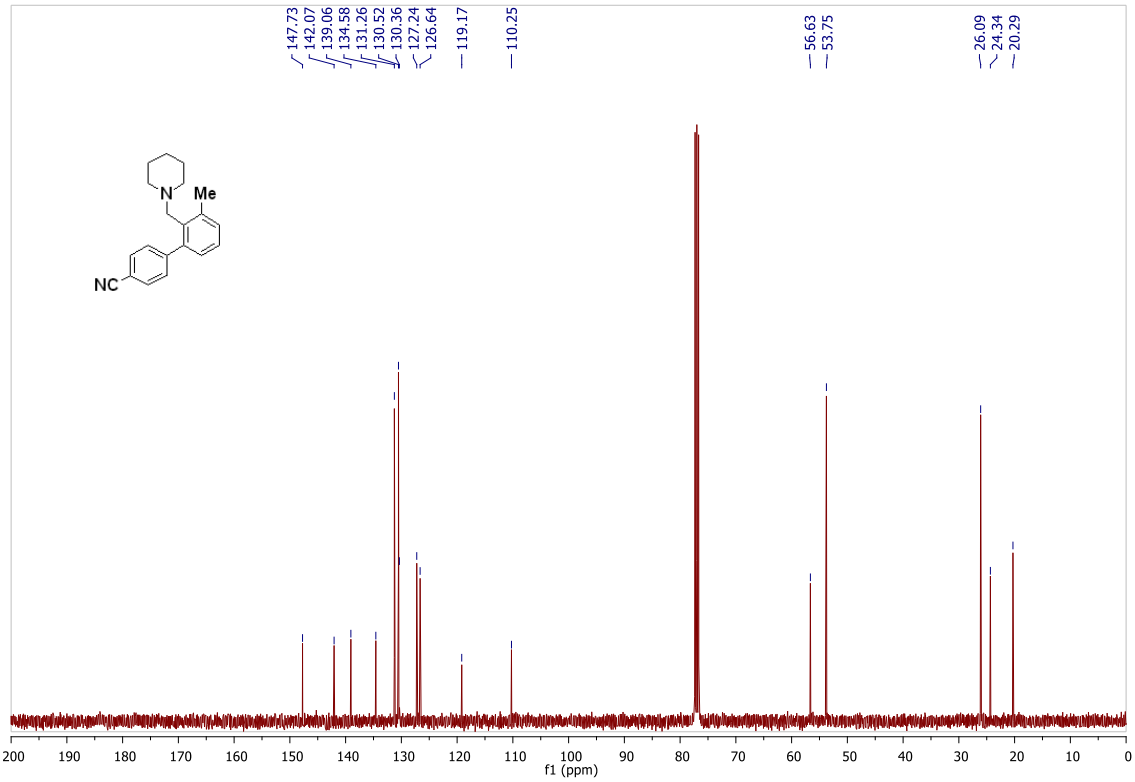
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((3-Methyl-4'-(trifluoromethoxy)-[1,1'-biphe3nyl]-2-yl)methyl)piperidine (**3i**)



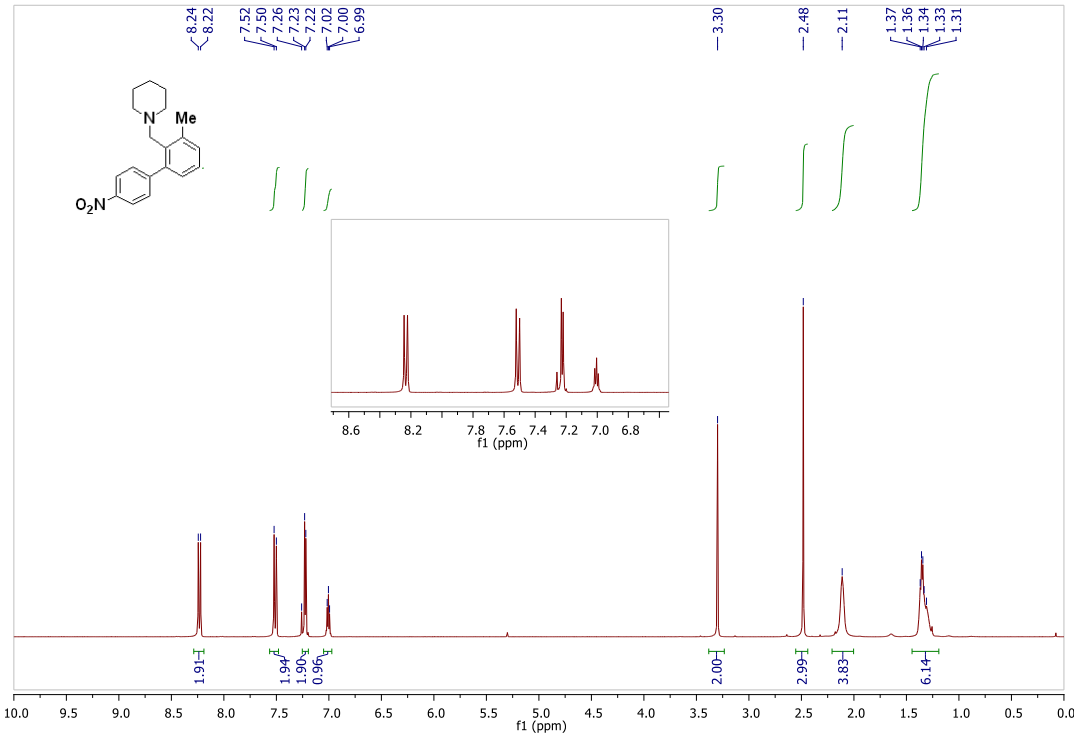
^{1}H NMR (400 MHz, $CDCl_3$) of 3'-Methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-4-carbonitrile (**3j**)



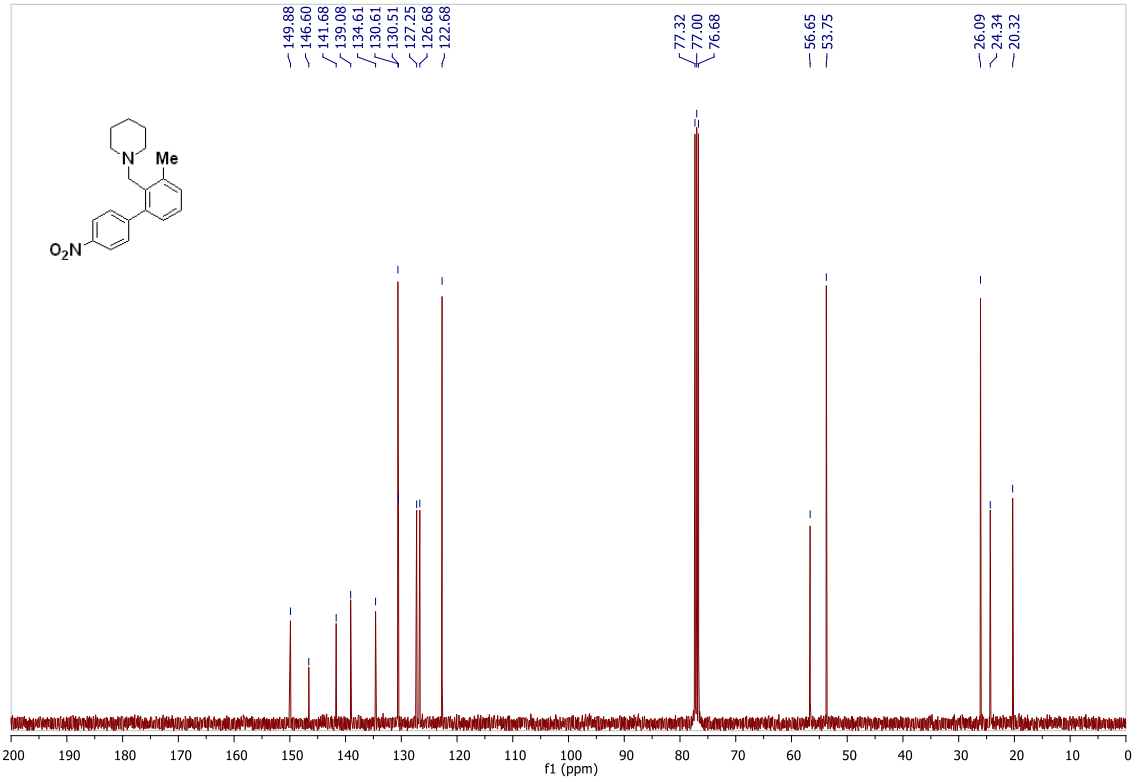
^{13}C NMR (101 MHz, $CDCl_3$) of 3'-Methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-4-carbonitrile (**3j**)



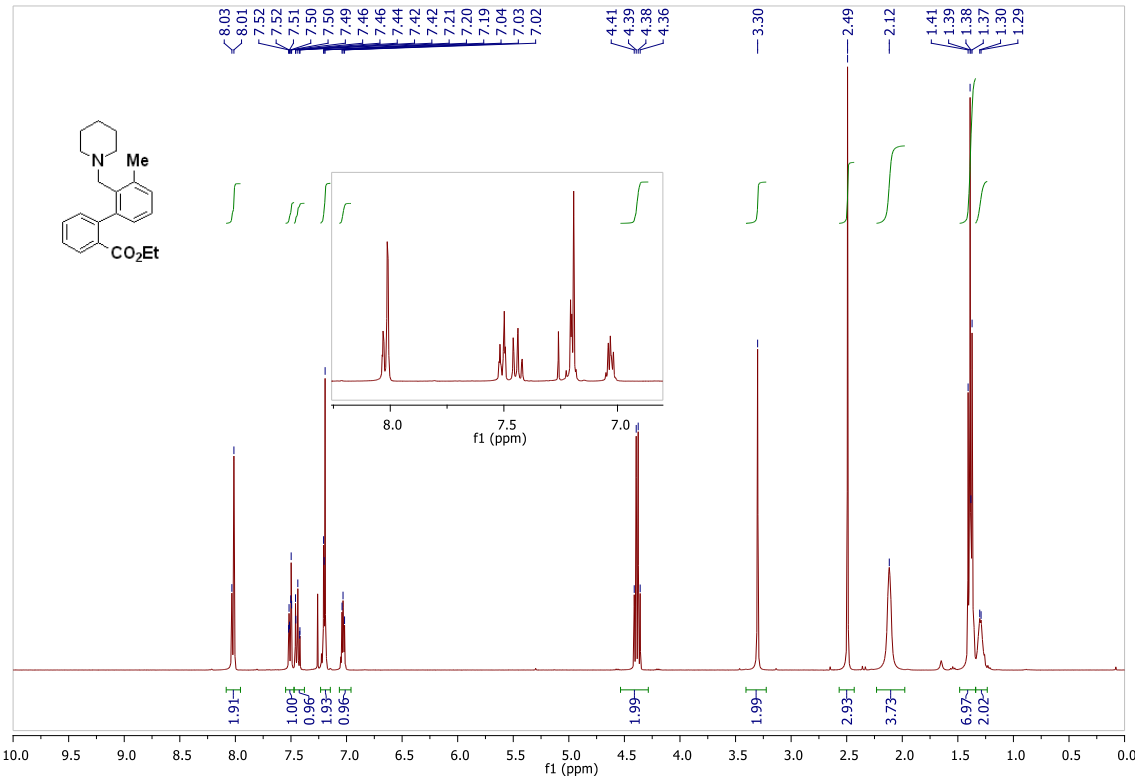
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-Methyl-4'-nitro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3k**)



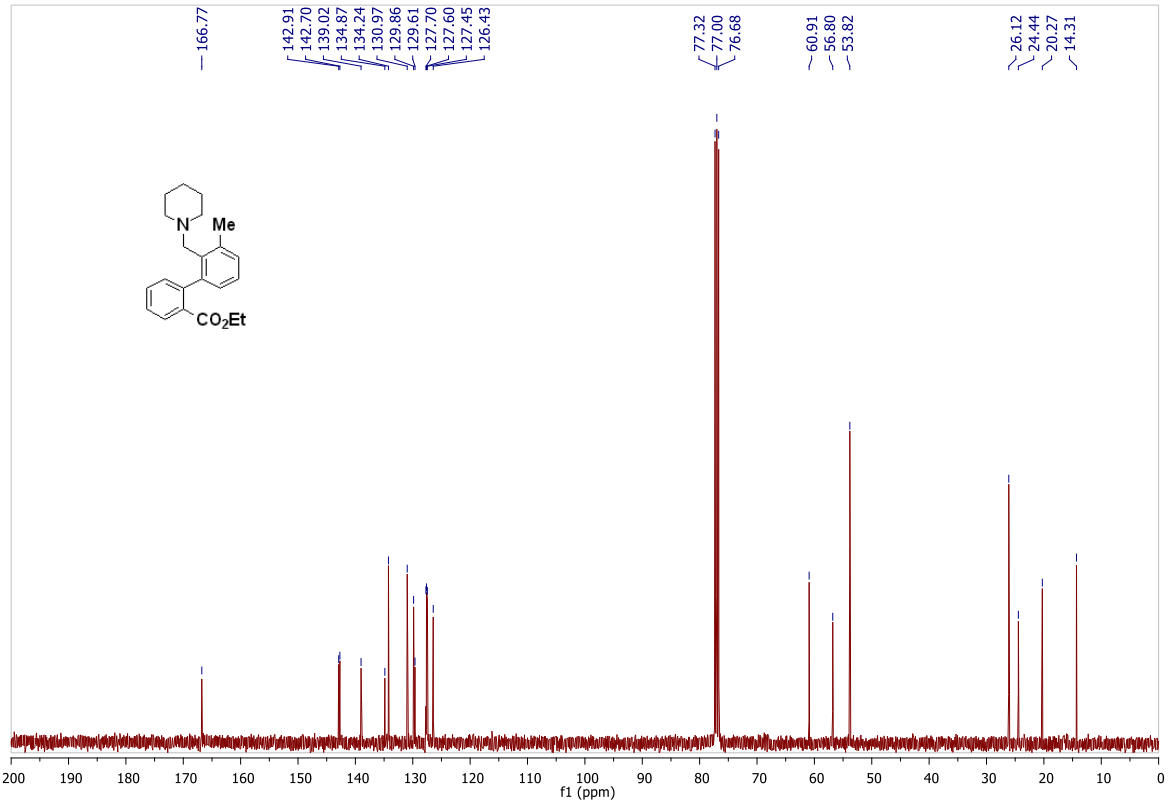
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-Methyl-4'-nitro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3k**)



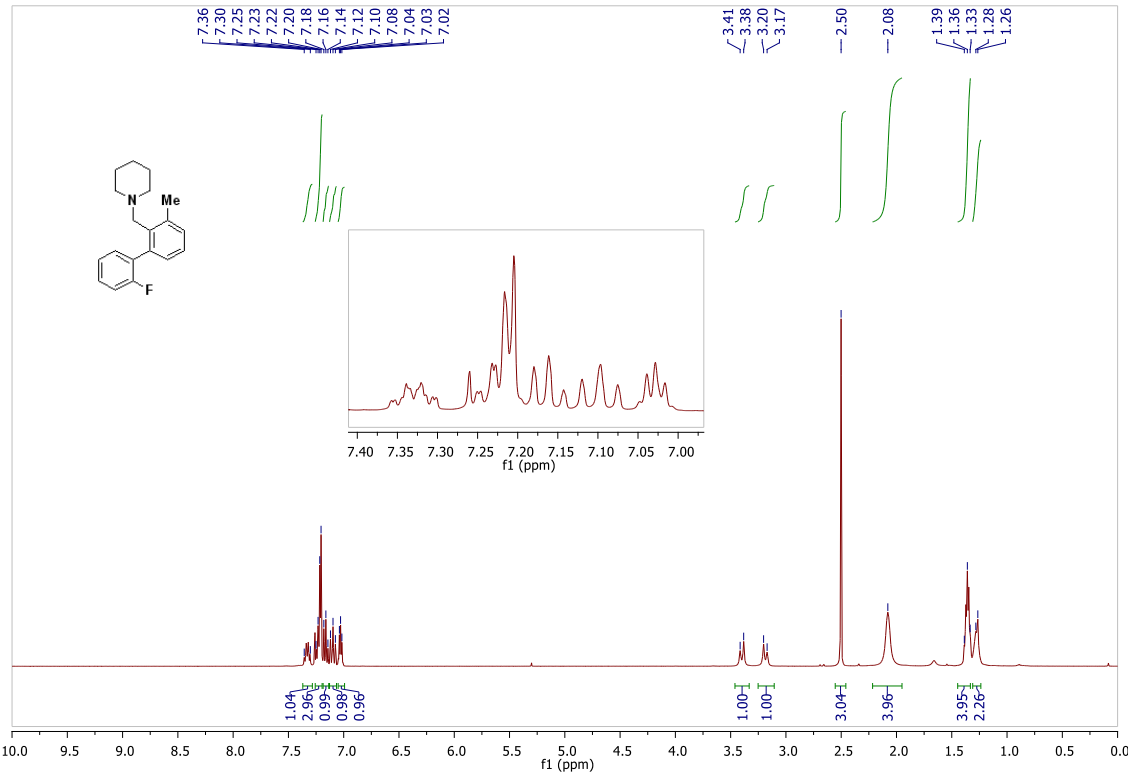
^{1}H NMR (400 MHz, $CDCl_3$) of Ethyl 3'-methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-2-carboxylate (**3l**)



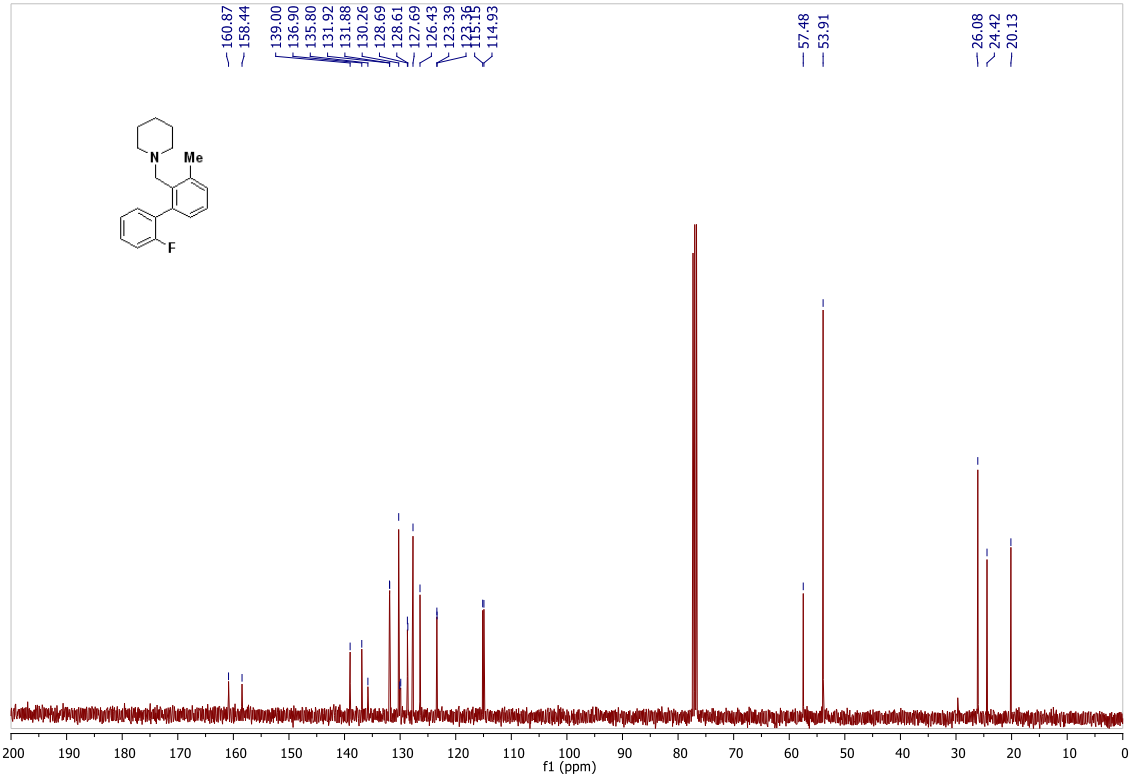
^{13}C NMR (101 MHz, $CDCl_3$) of Ethyl 3'-methyl-2'-(piperidin-1-ylmethyl)-[1,1'-biphenyl]-2-carboxylate (**3l**)



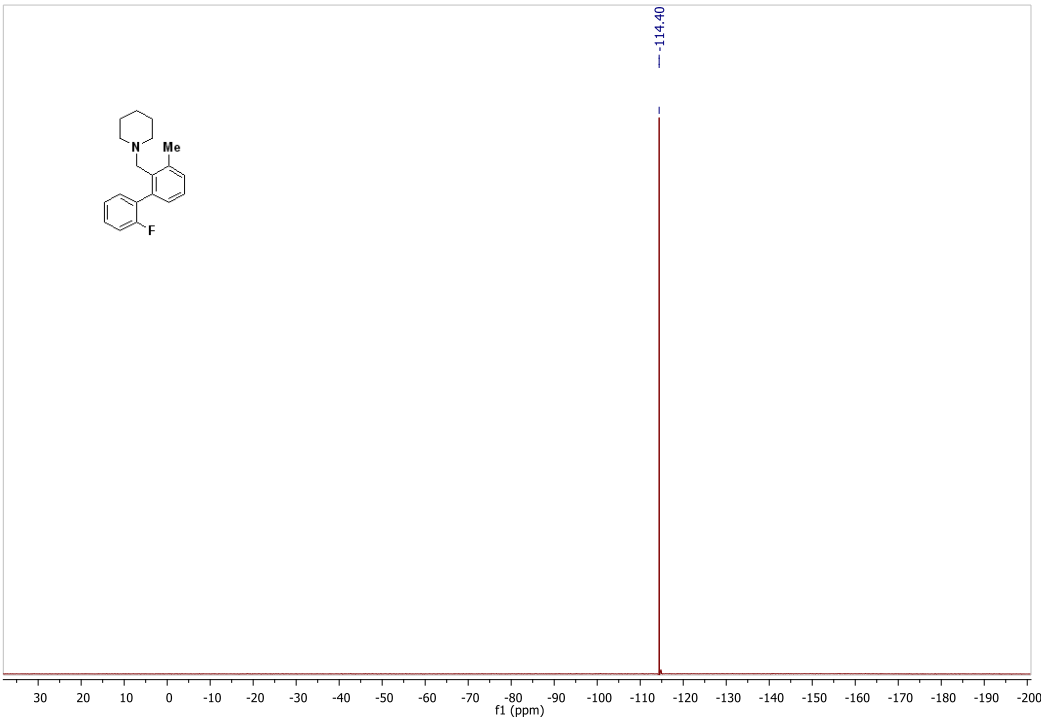
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((2'-fluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3m)**



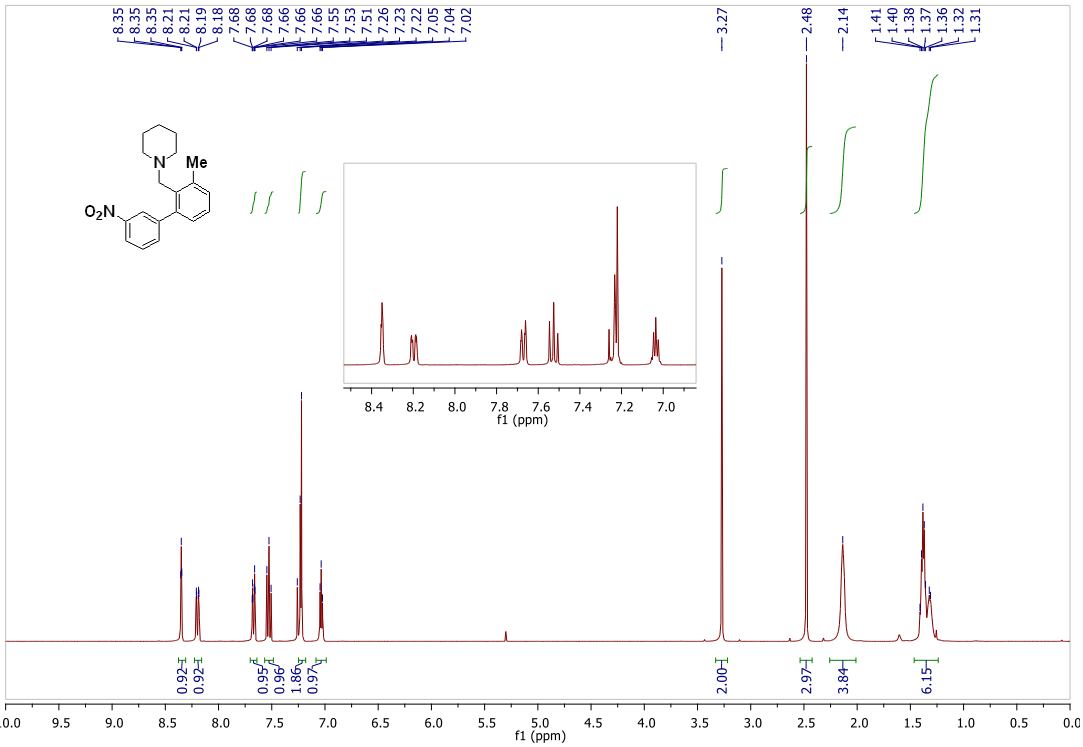
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((2'-fluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3m)**



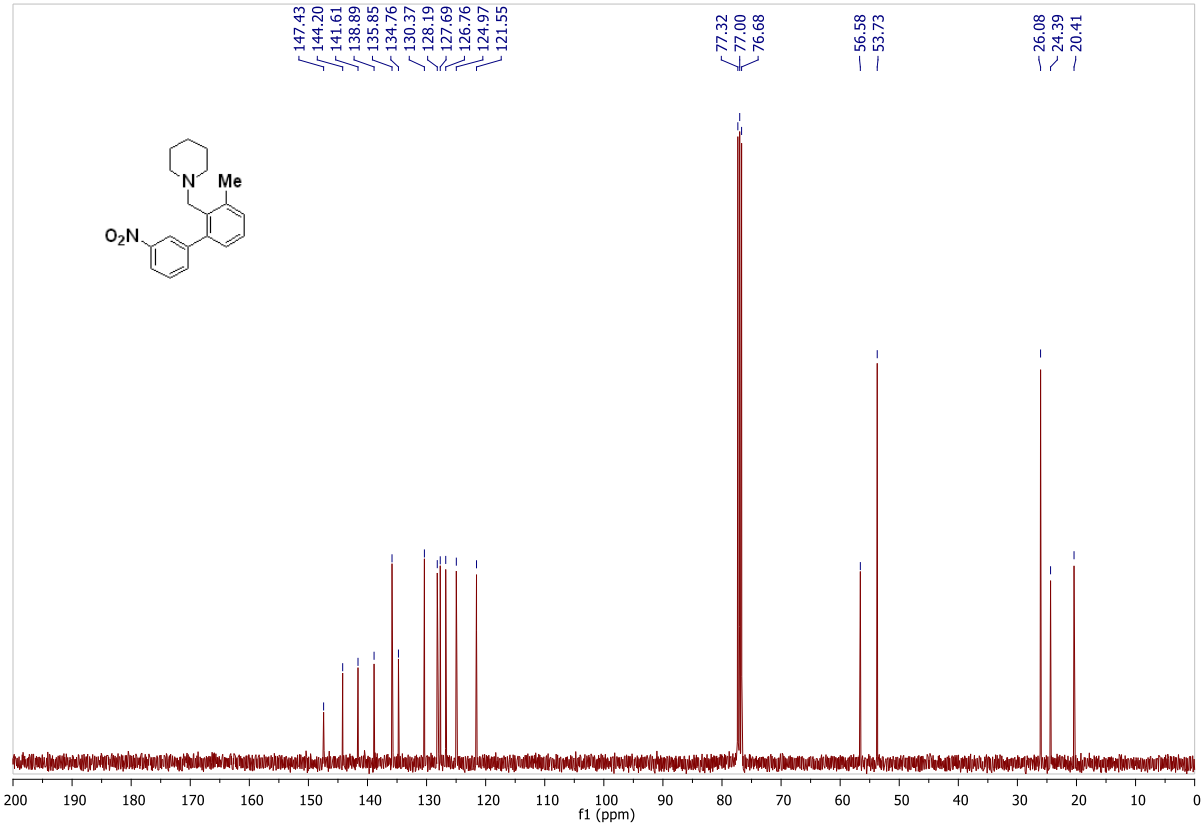
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((2'-fluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3m)**



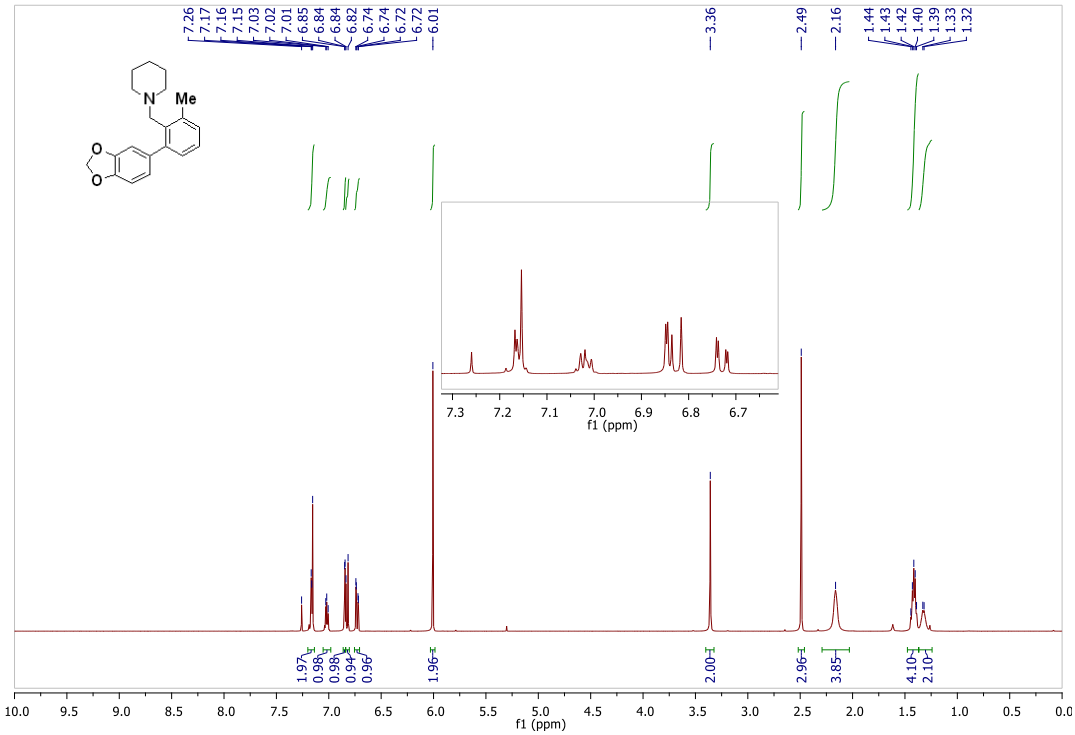
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-Methyl-3'-nitro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3o**)



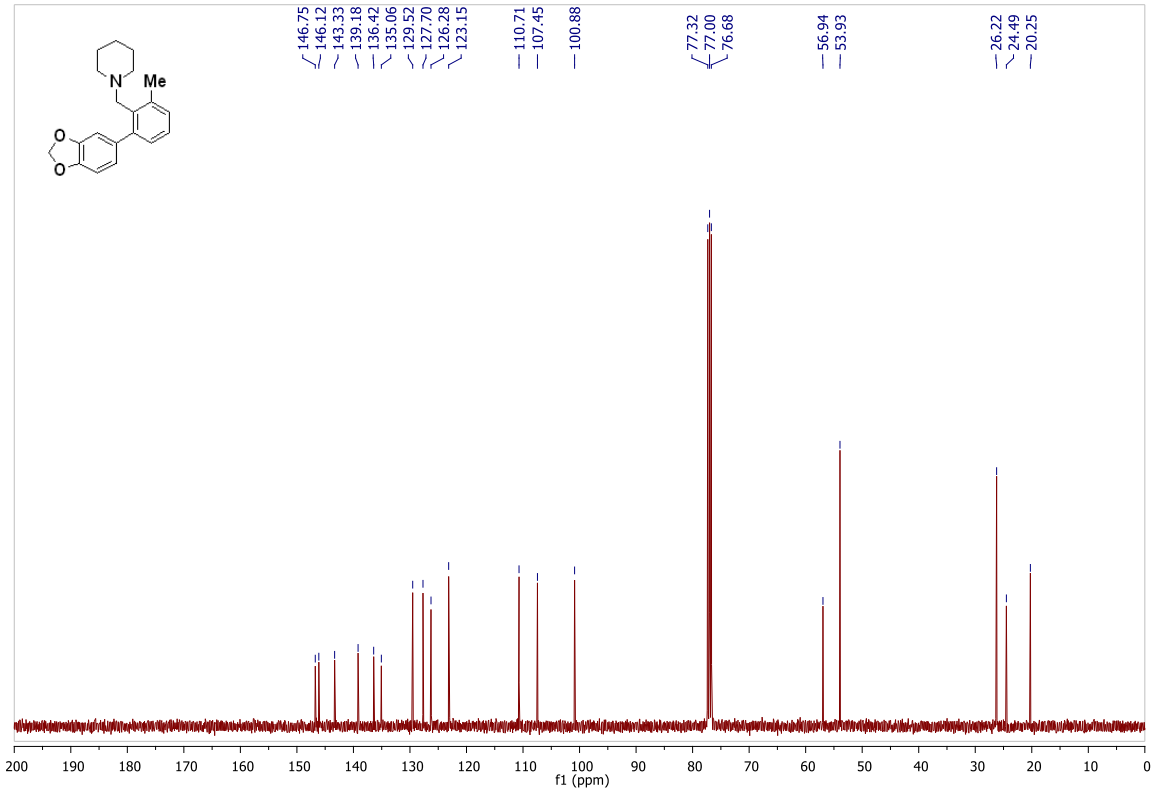
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-Methyl-3'-nitro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3o**)



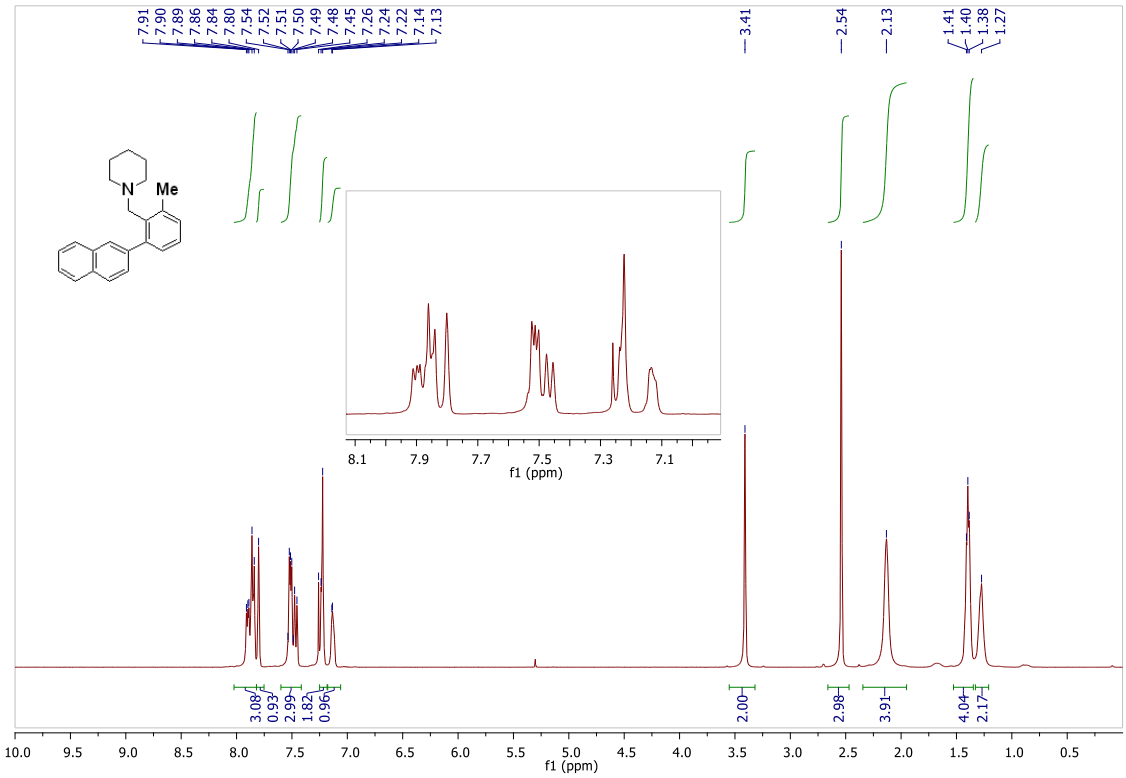
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-(benzo[d][1,3]dioxol-5-yl)-6-methylbenzyl)piperidine (**3p**)



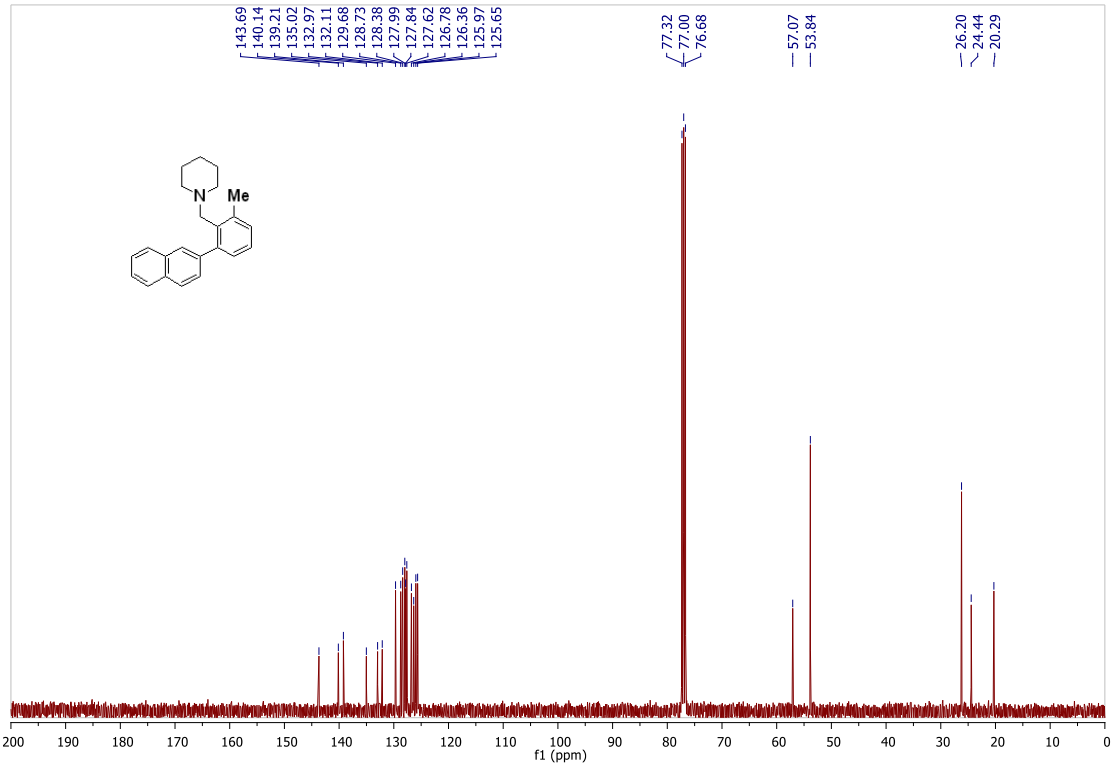
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-(benzo[d][1,3]dioxol-5-yl)-6-methylbenzyl)piperidine (**3p**)



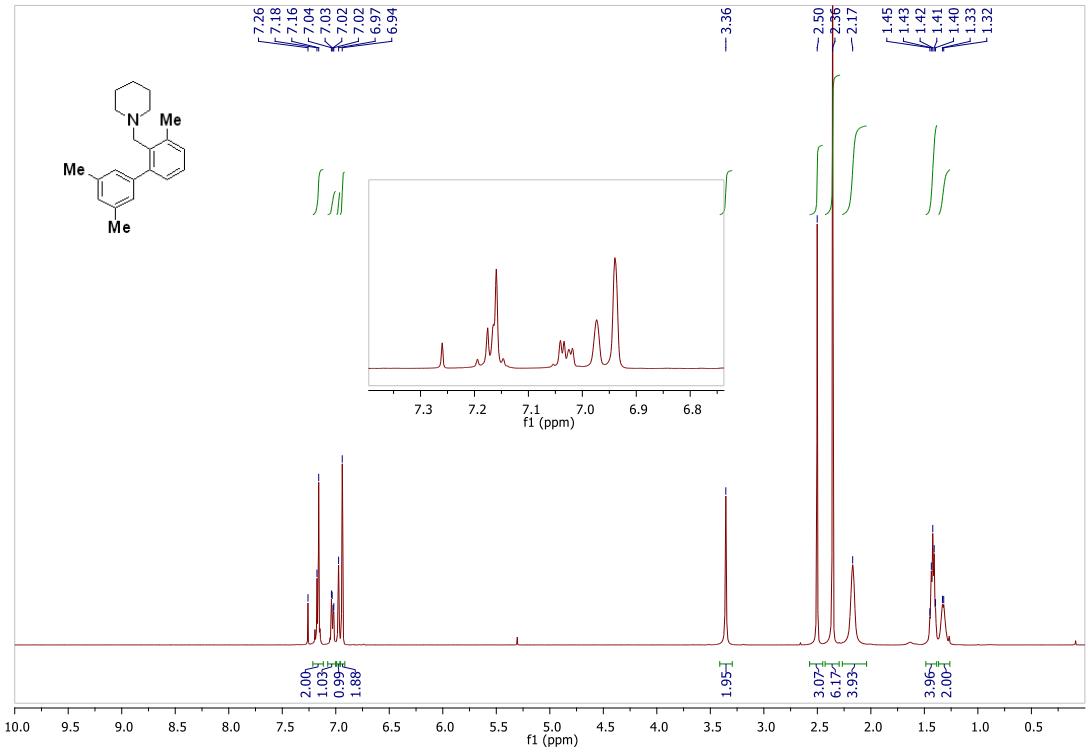
^{1}H NMR (400 MHz, $CDCl_3$) of 1-(2-Methyl-6-(naphthalen-2-yl)benzyl)piperidine (**3q**)



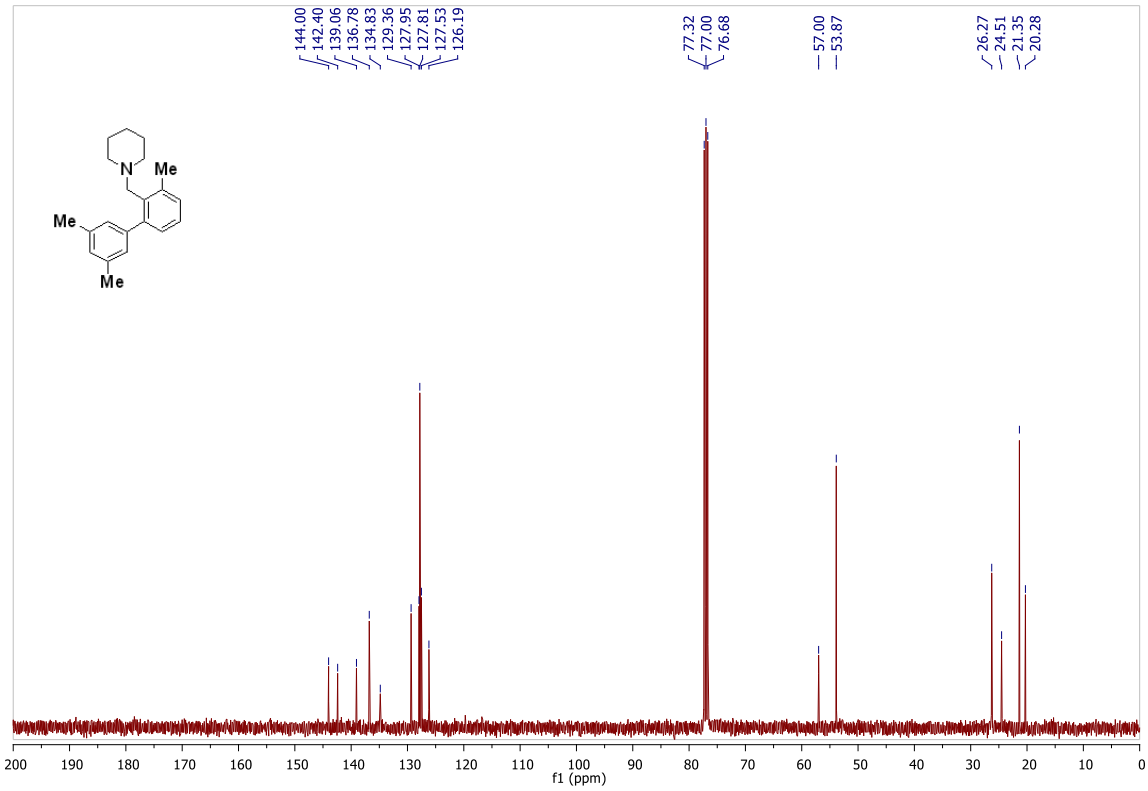
^{13}C NMR (101 MHz, $CDCl_3$) of 1-(2-Methyl-6-(naphthalen-2-yl)benzyl)piperidine (**3q**)



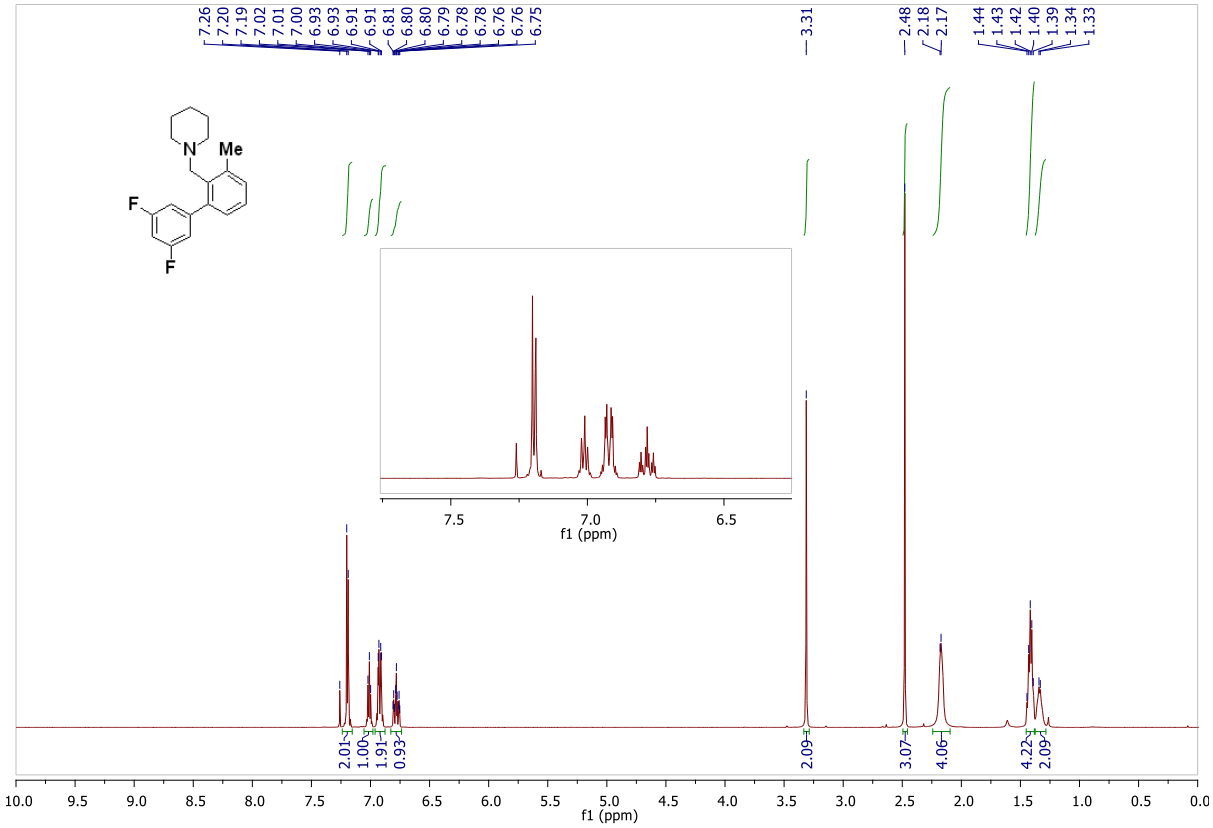
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3,3',5'-trimethyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3r)**



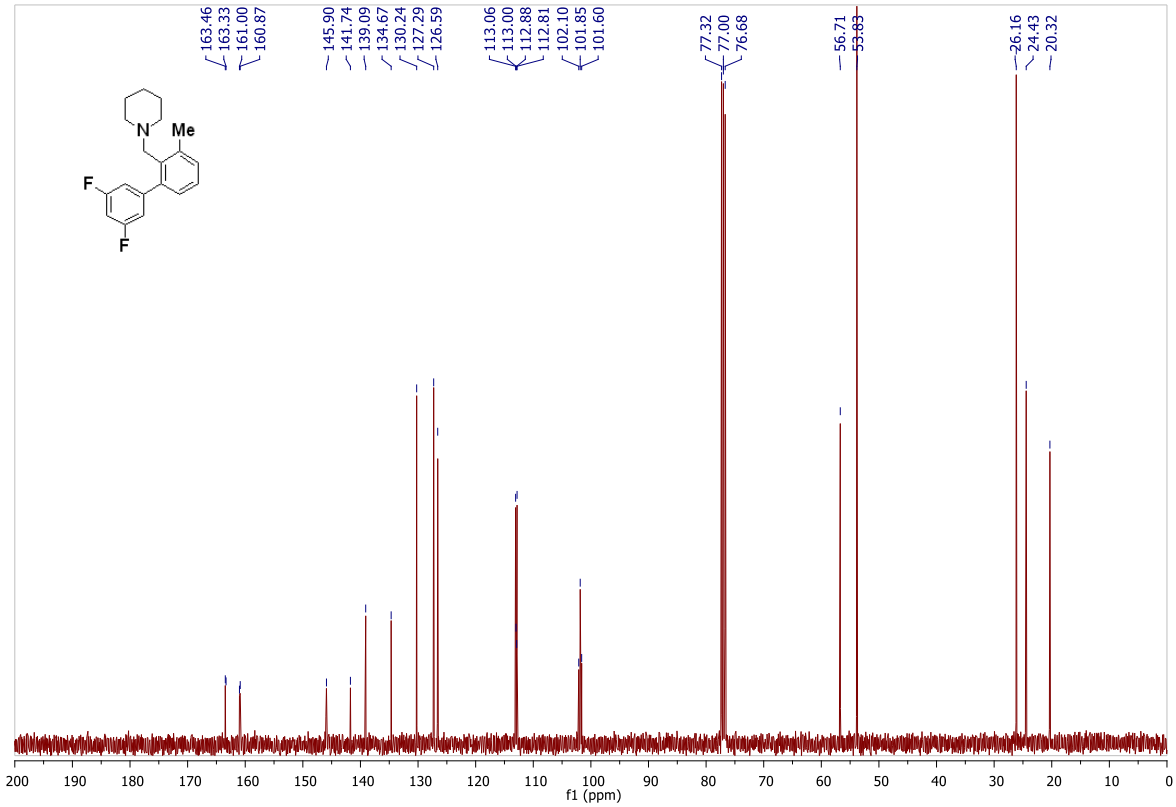
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3,3',5'-trimethyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3r)**



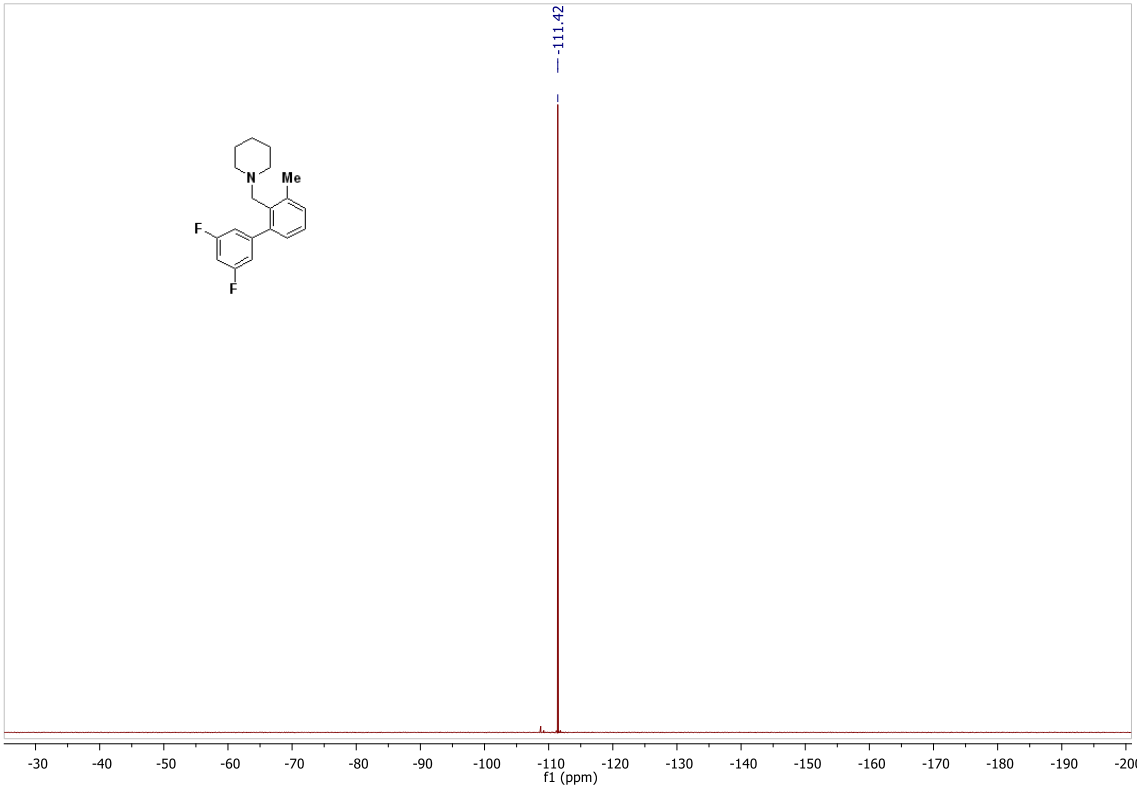
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3',5'-difluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3s)**



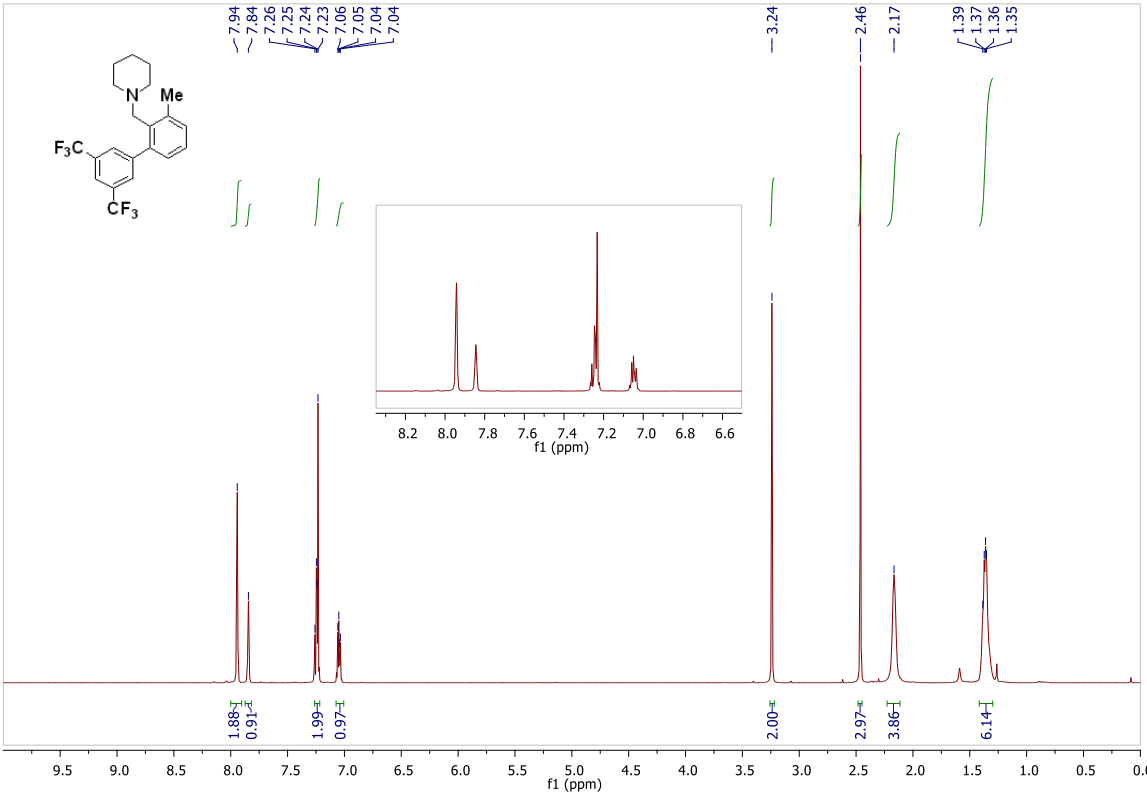
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3',5'-difluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine **(3s)**



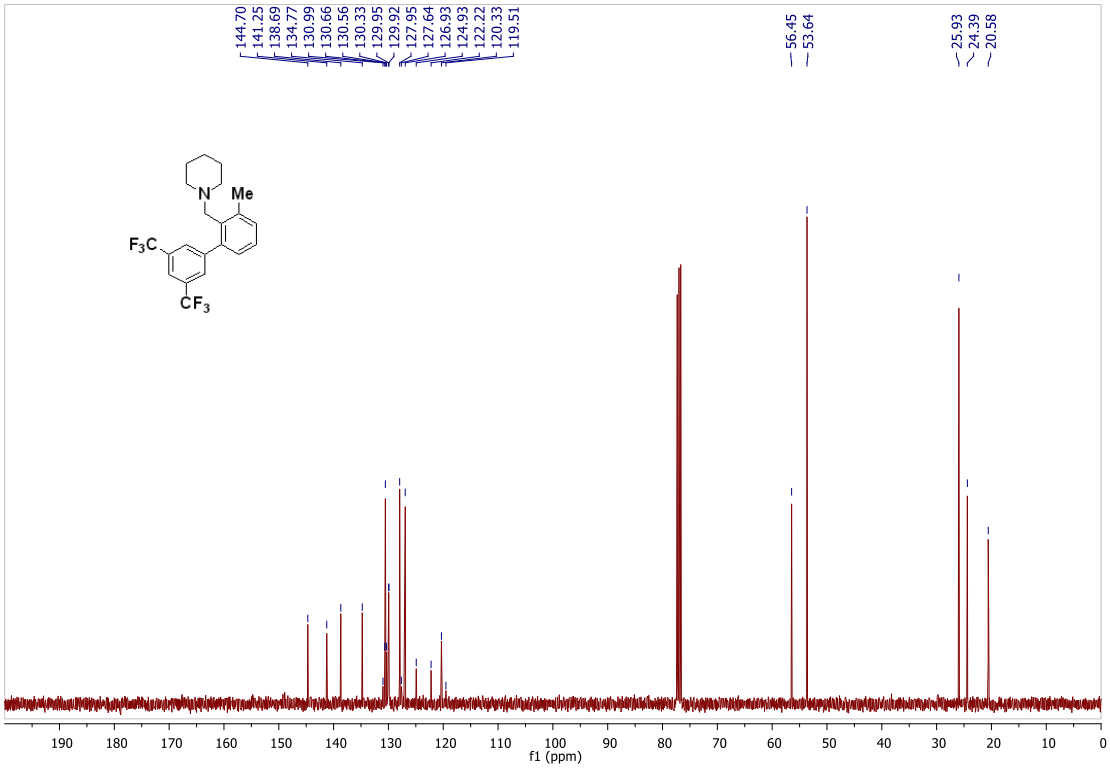
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((3',5'-difluoro-3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperidine **(3s)**



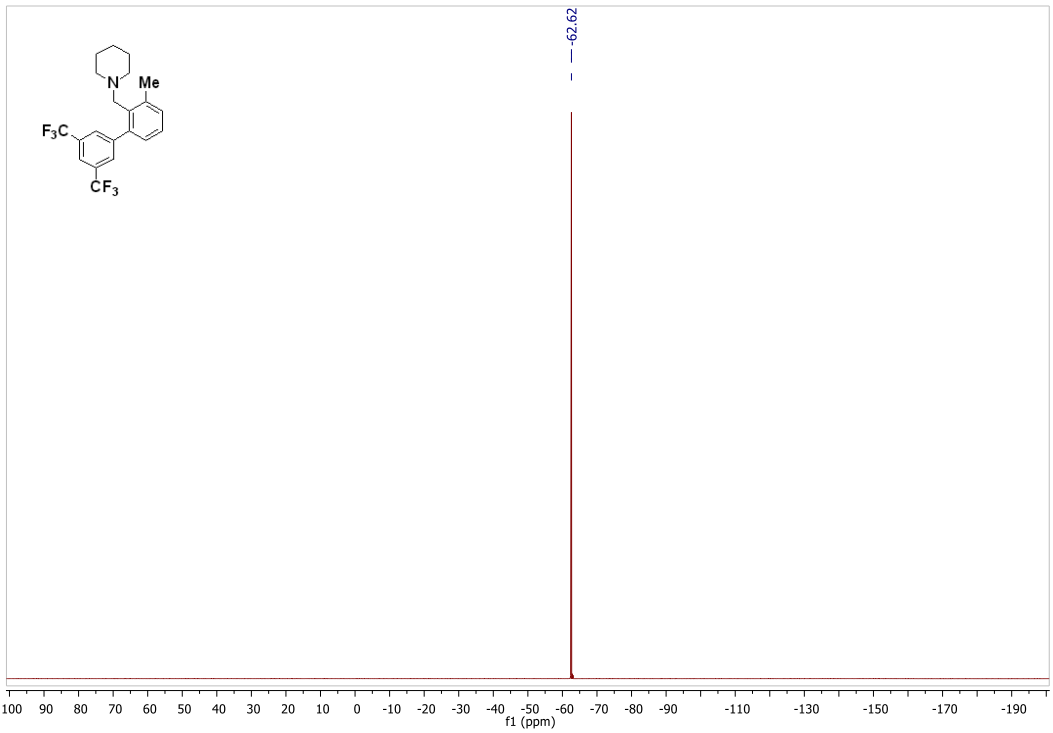
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-Methyl-3',5'-bis(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3t**)



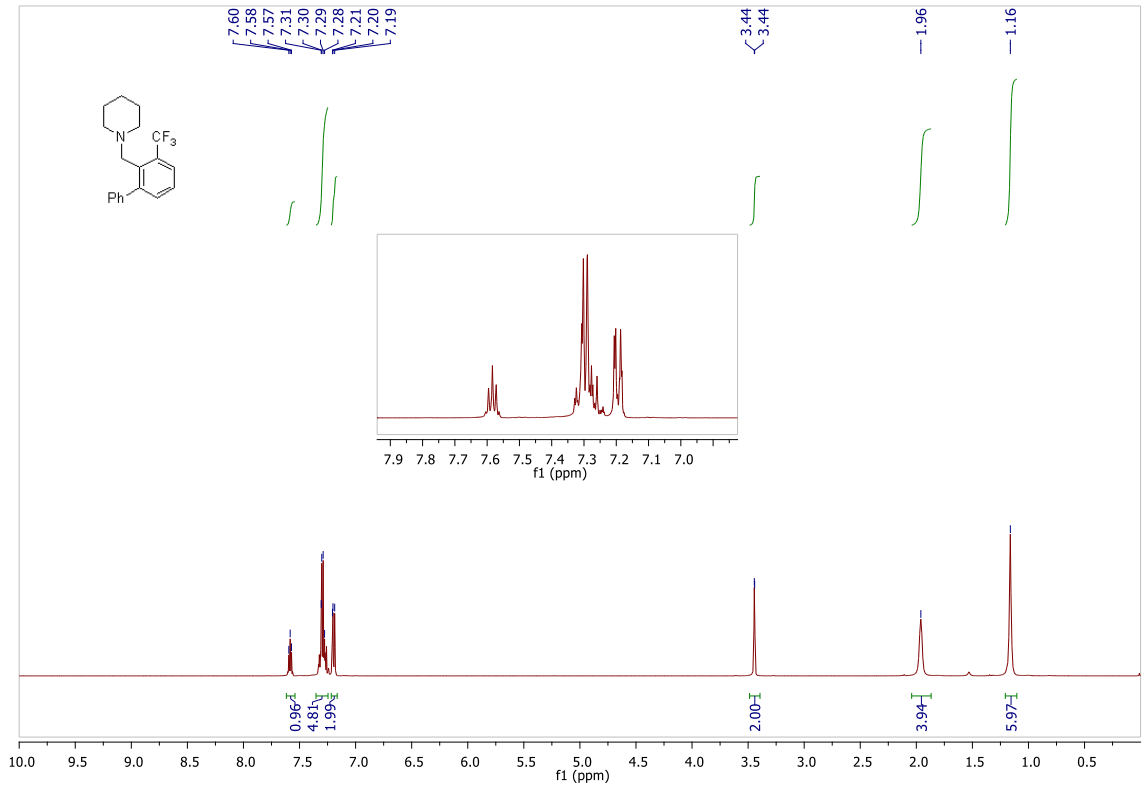
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-Methyl-3',5'-bis(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3t**)



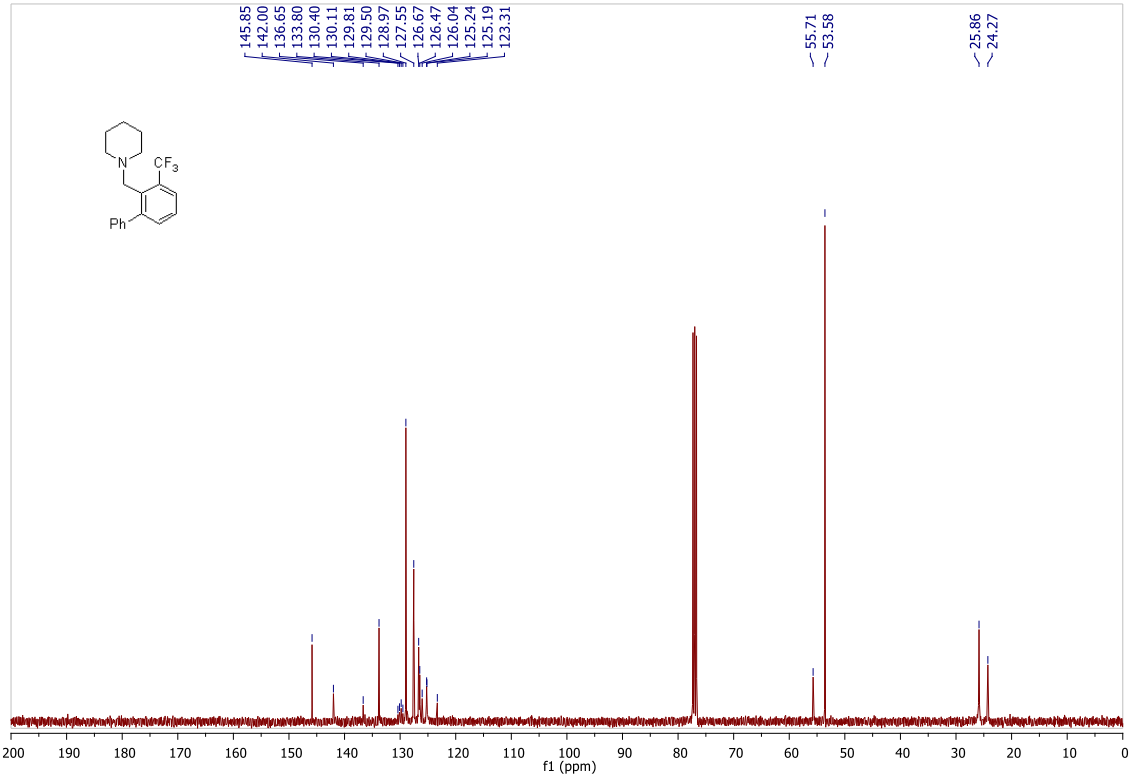
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((3-Methyl-3',5'-bis(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**3t)**



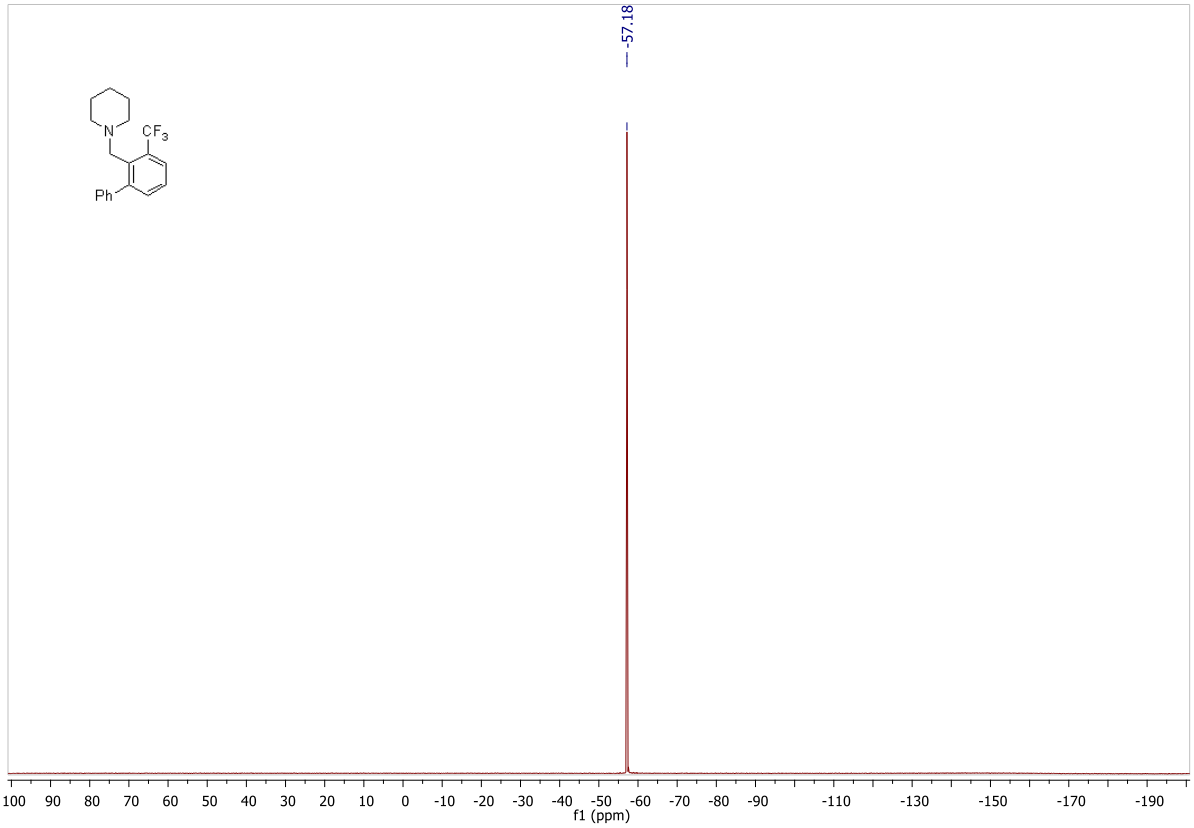
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4a**)



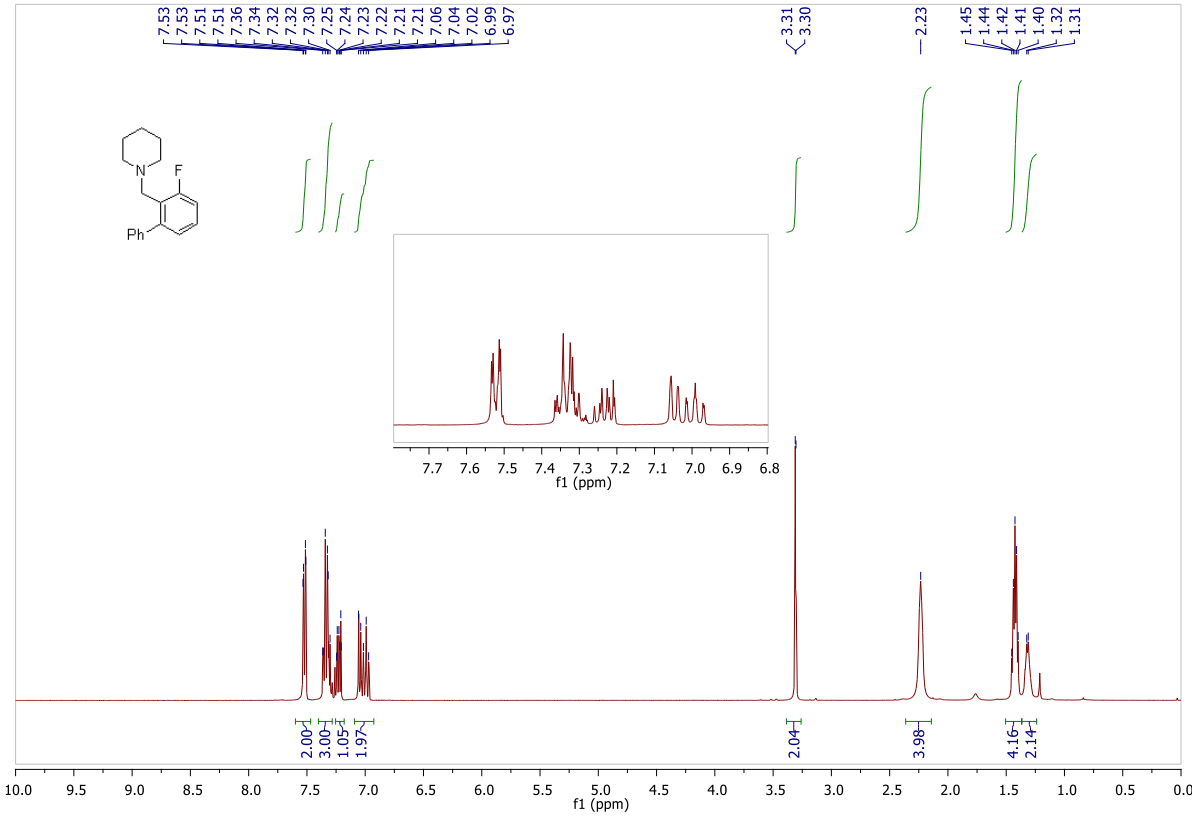
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4a**)



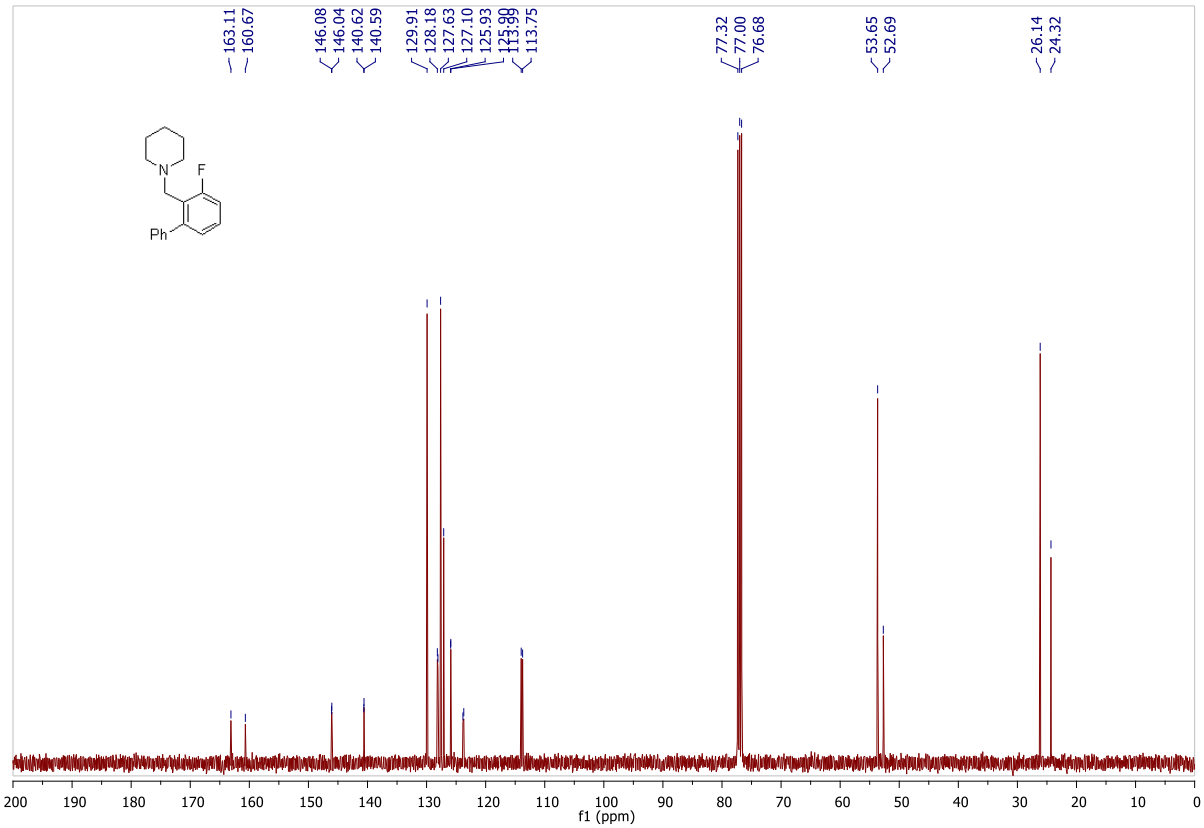
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((3-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4a**)



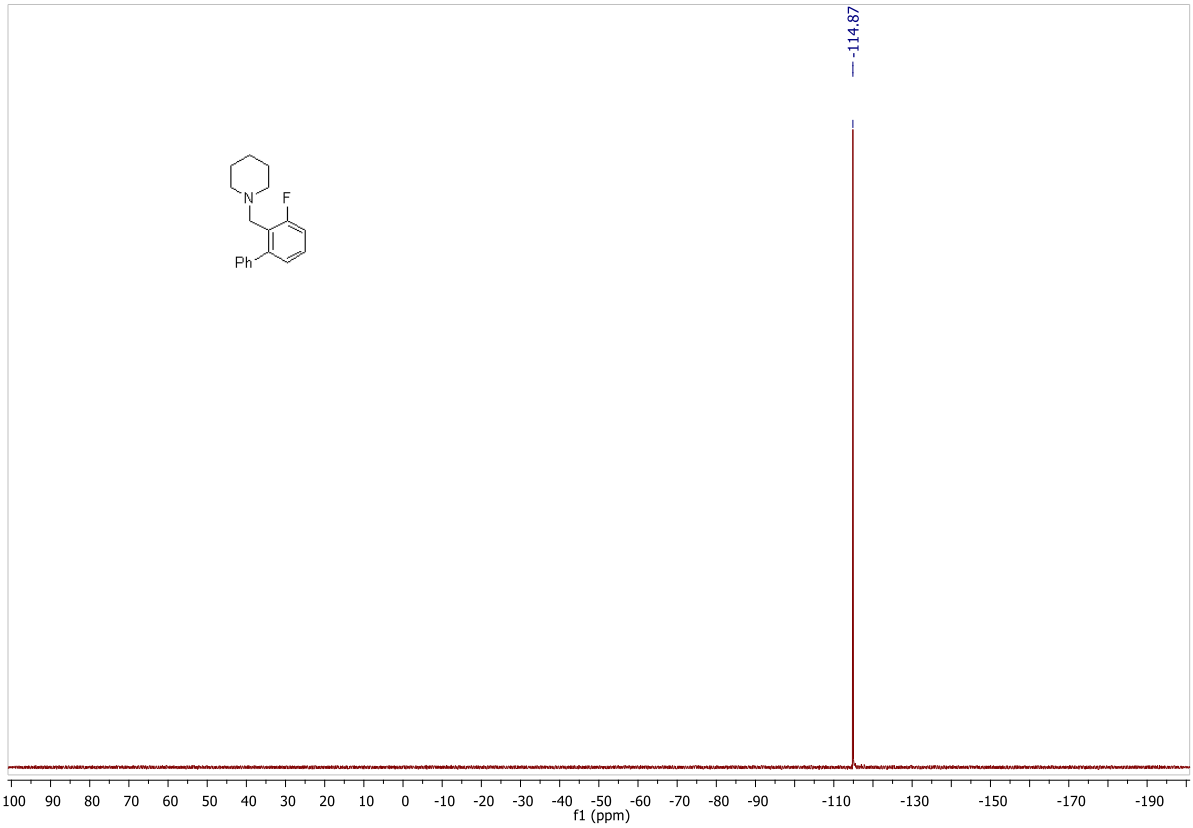
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4b**)



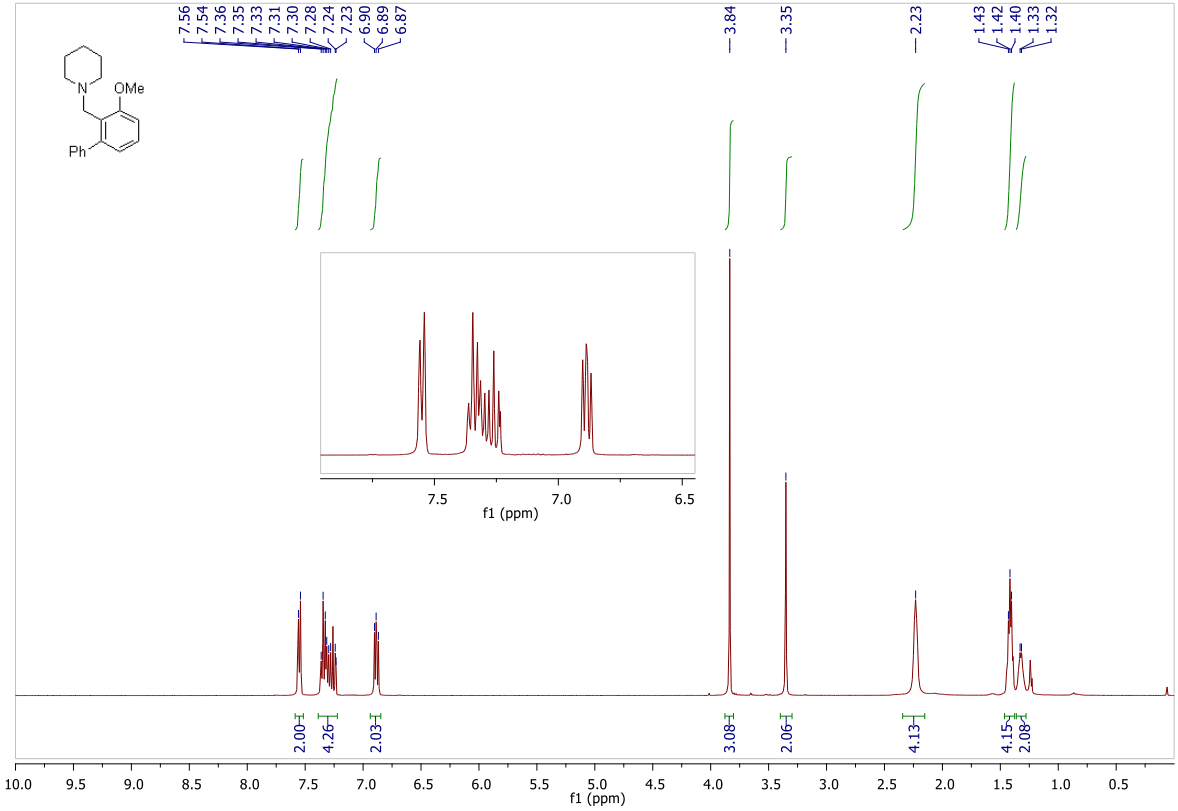
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4b**)



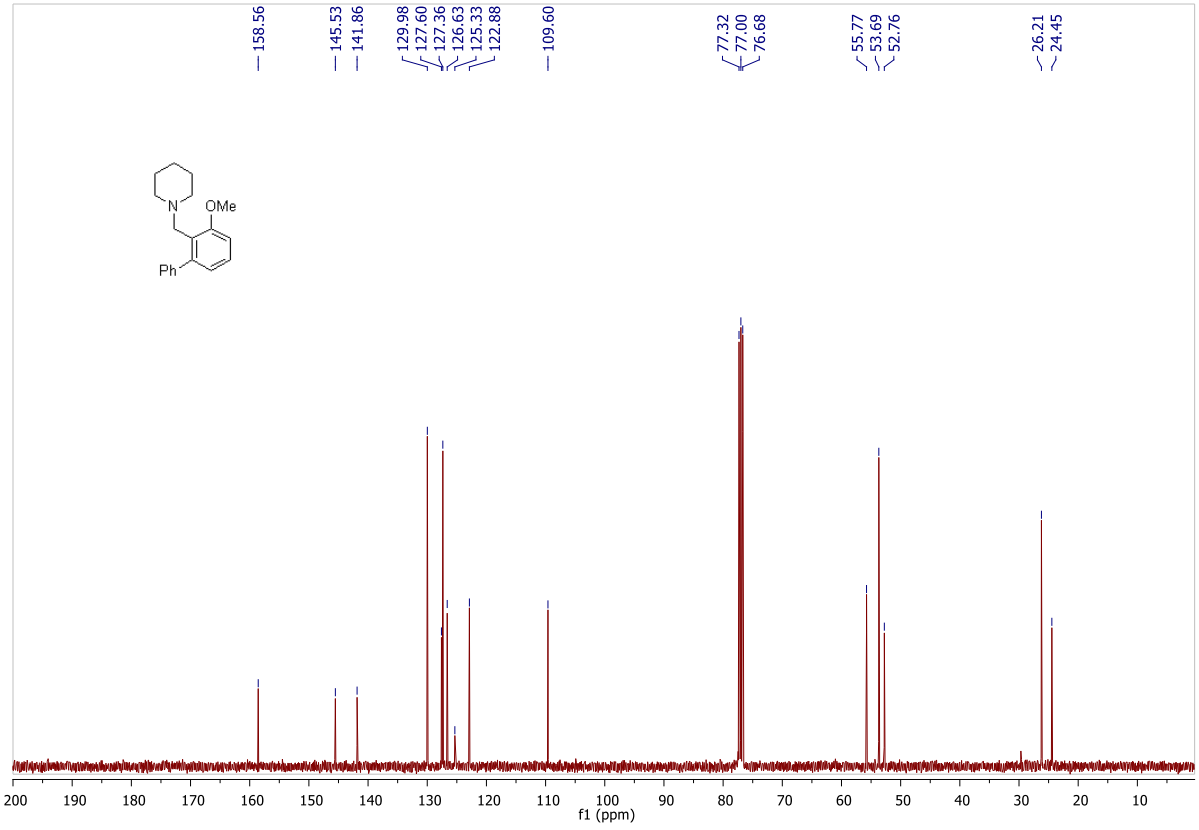
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((3-fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4b**)



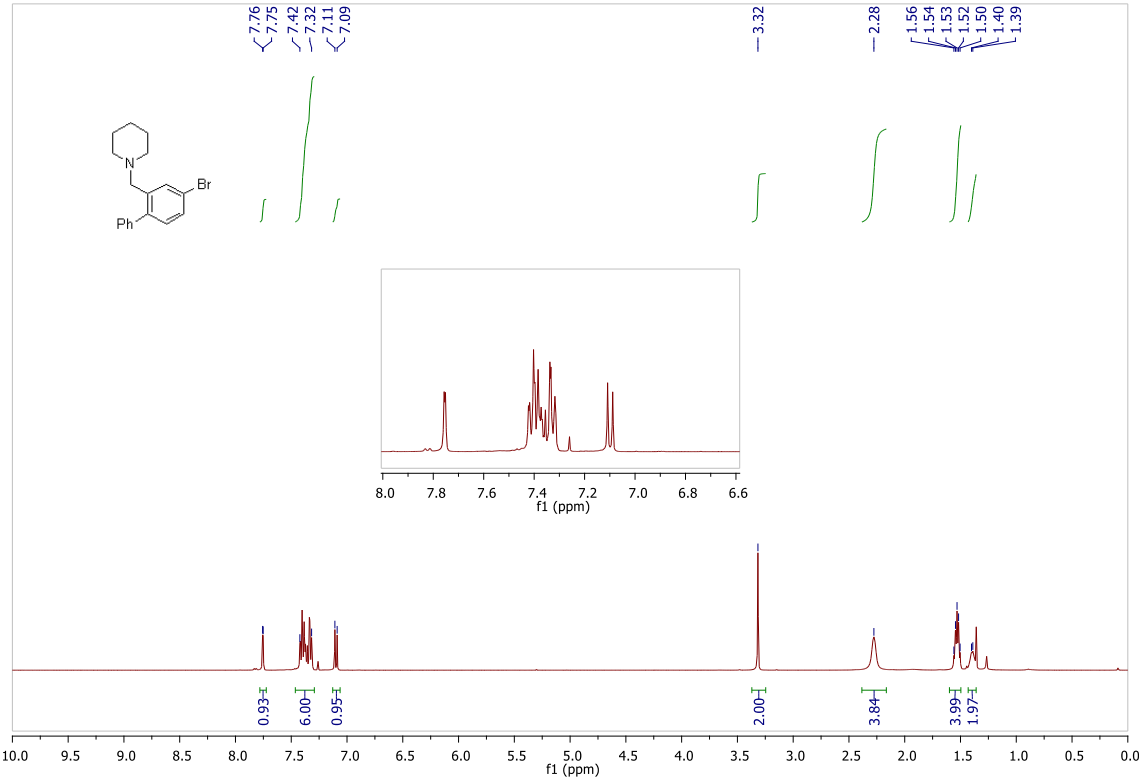
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-methoxy-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4c**)



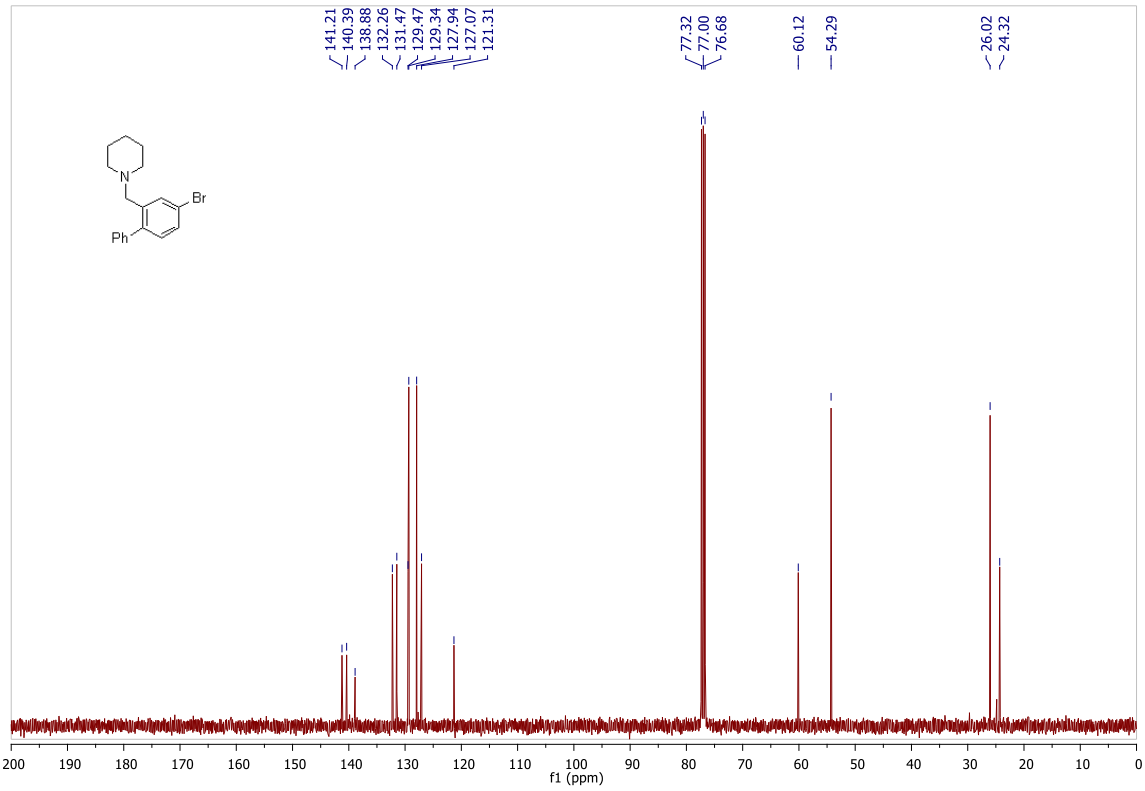
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-methoxy-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4c**)



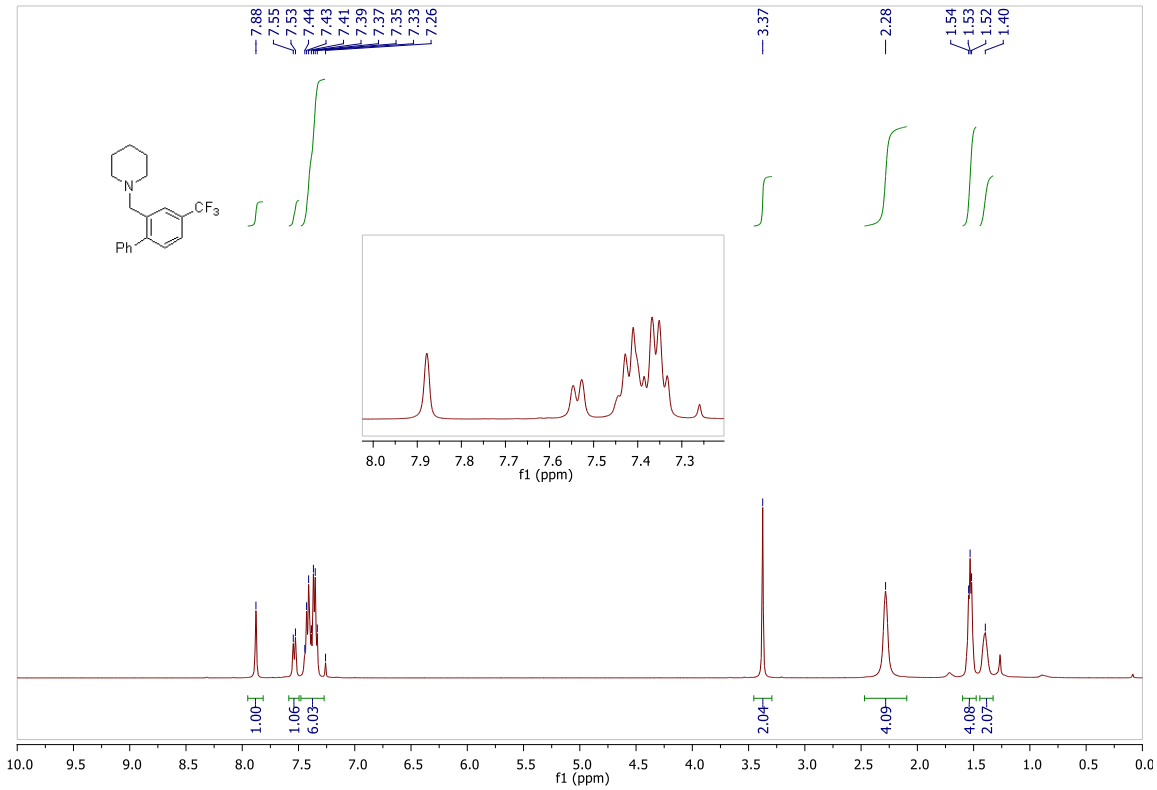
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4-bromo-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4d**)



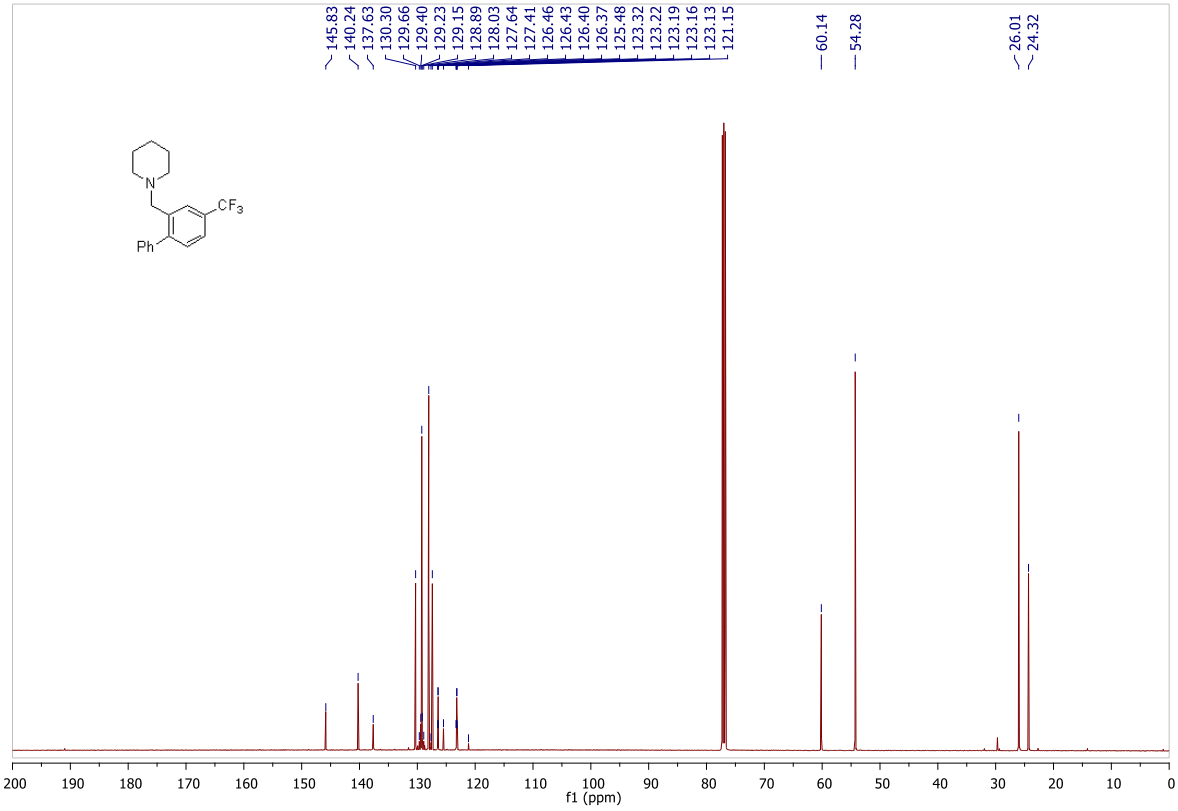
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4-bromo-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4d**)



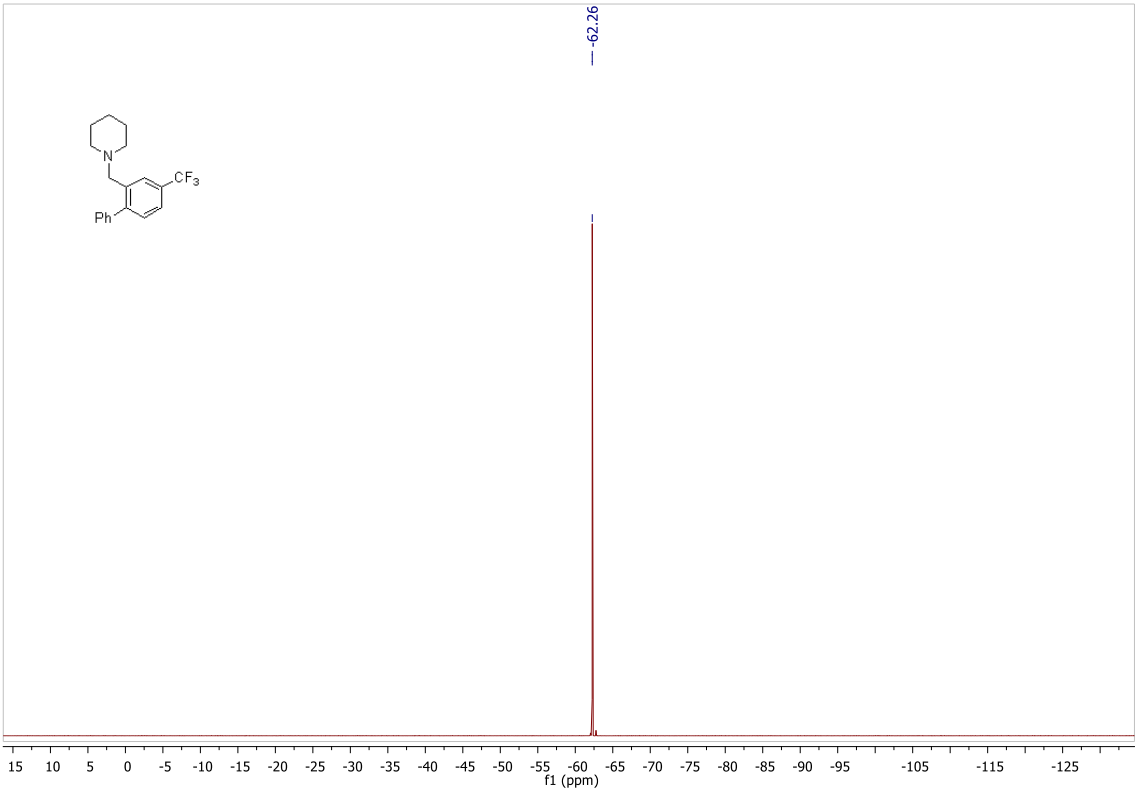
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4e**)



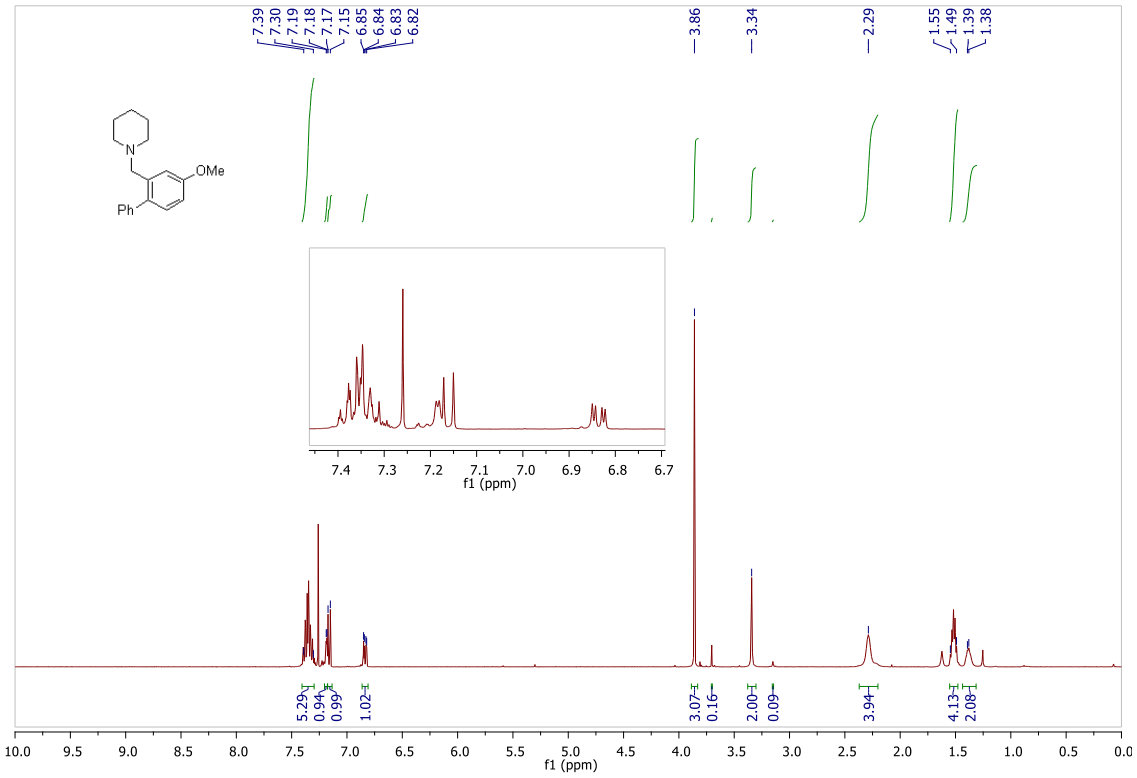
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4e**)



^{19}F NMR (377 MHz, $CDCl_3$) of 1-((4-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4e**)

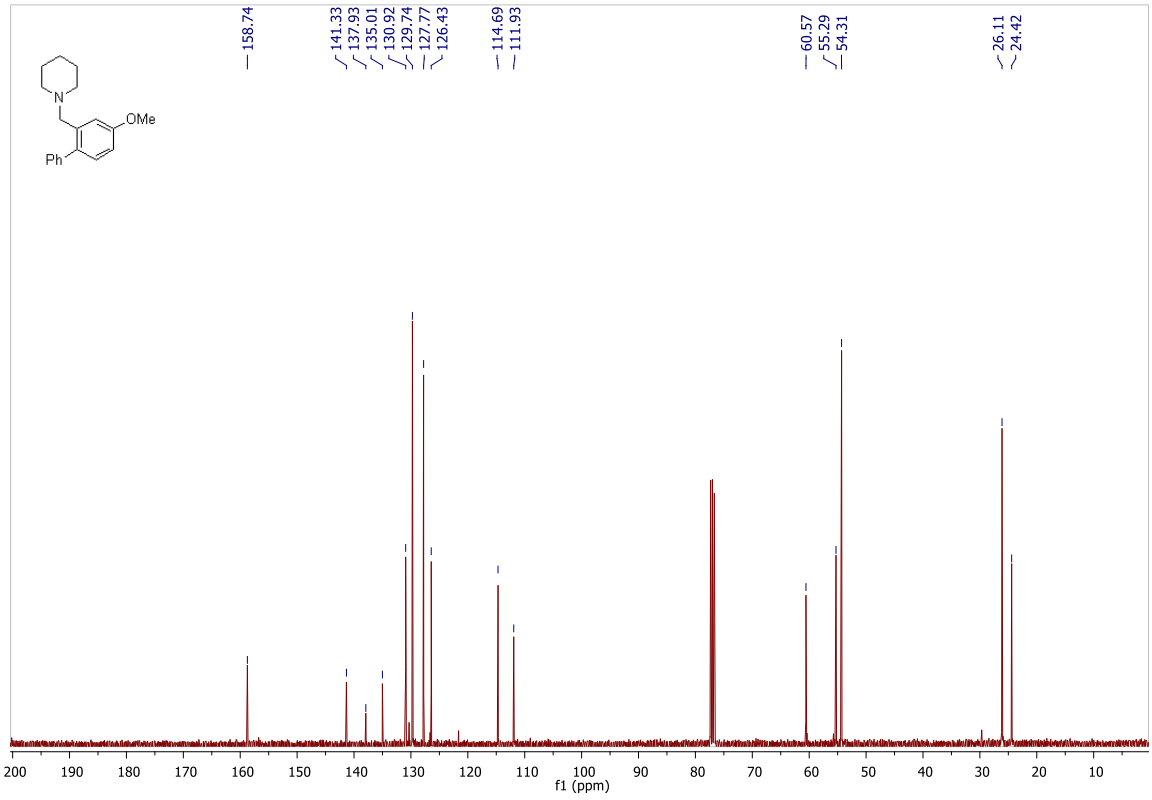


^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4-methoxy-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4f**)

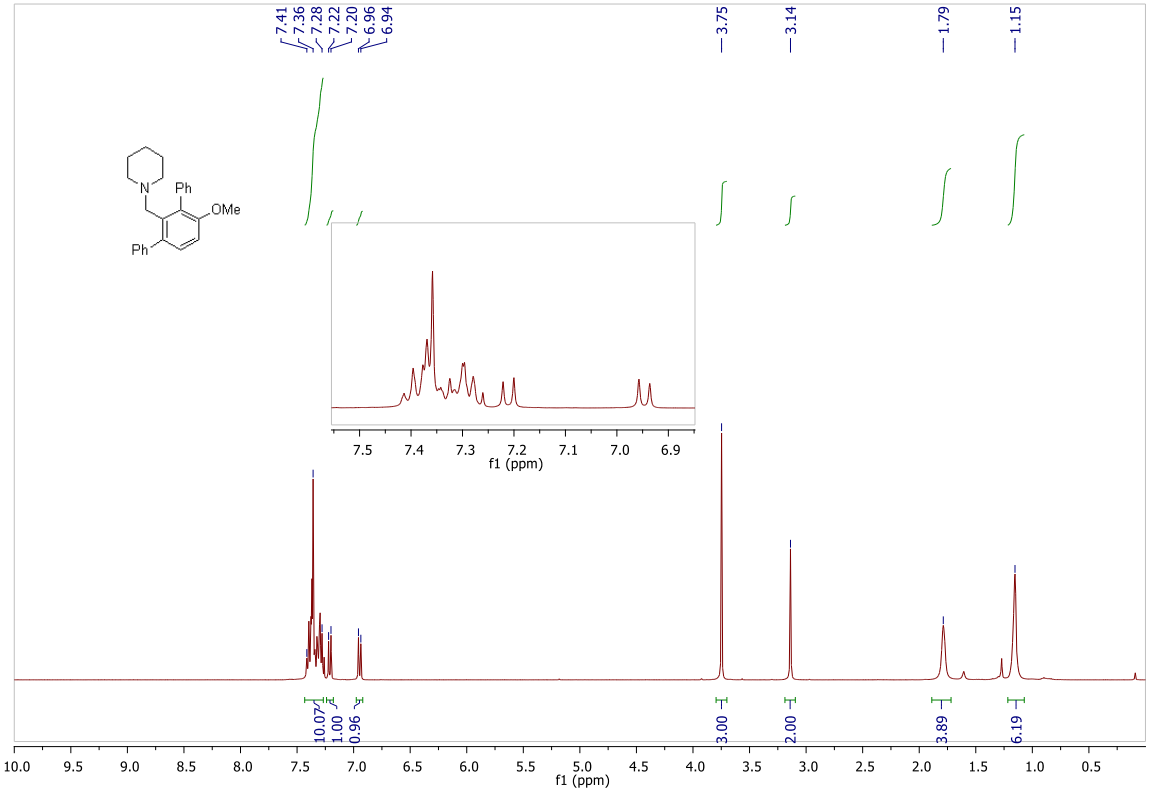


* 4% of the other region-isomer observed.

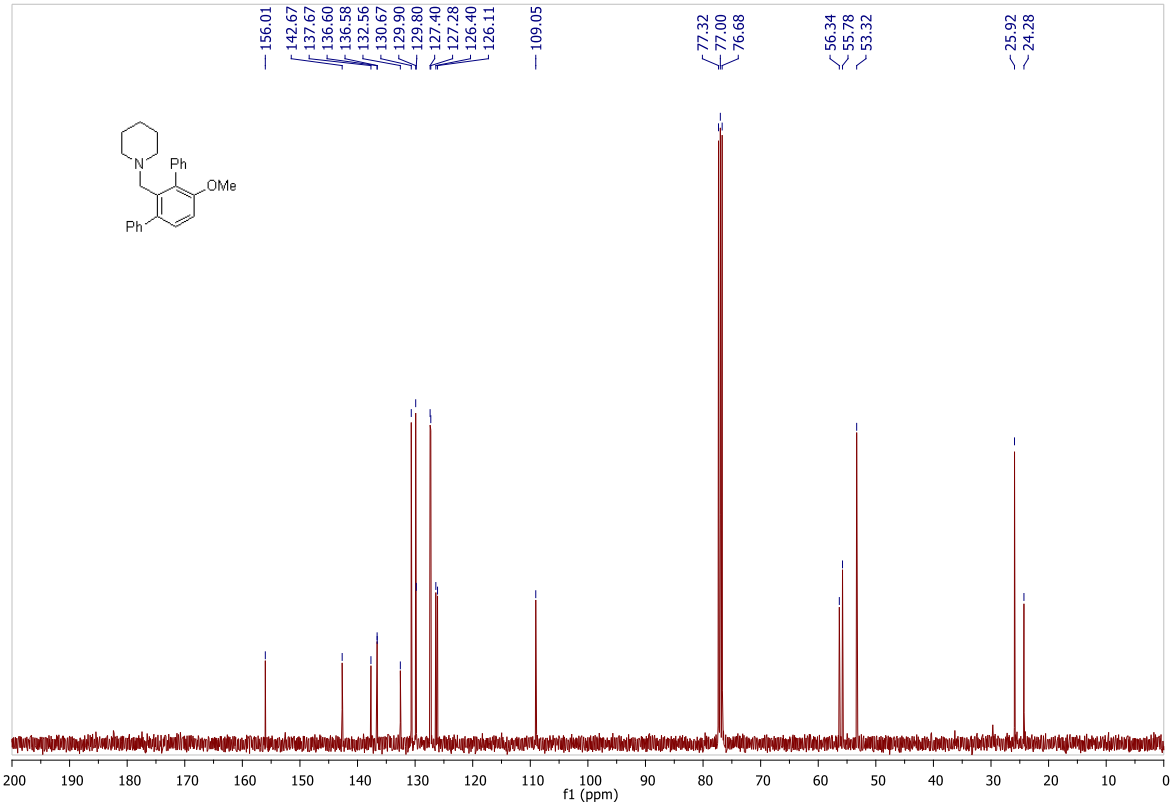
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4-methoxy-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4f**)



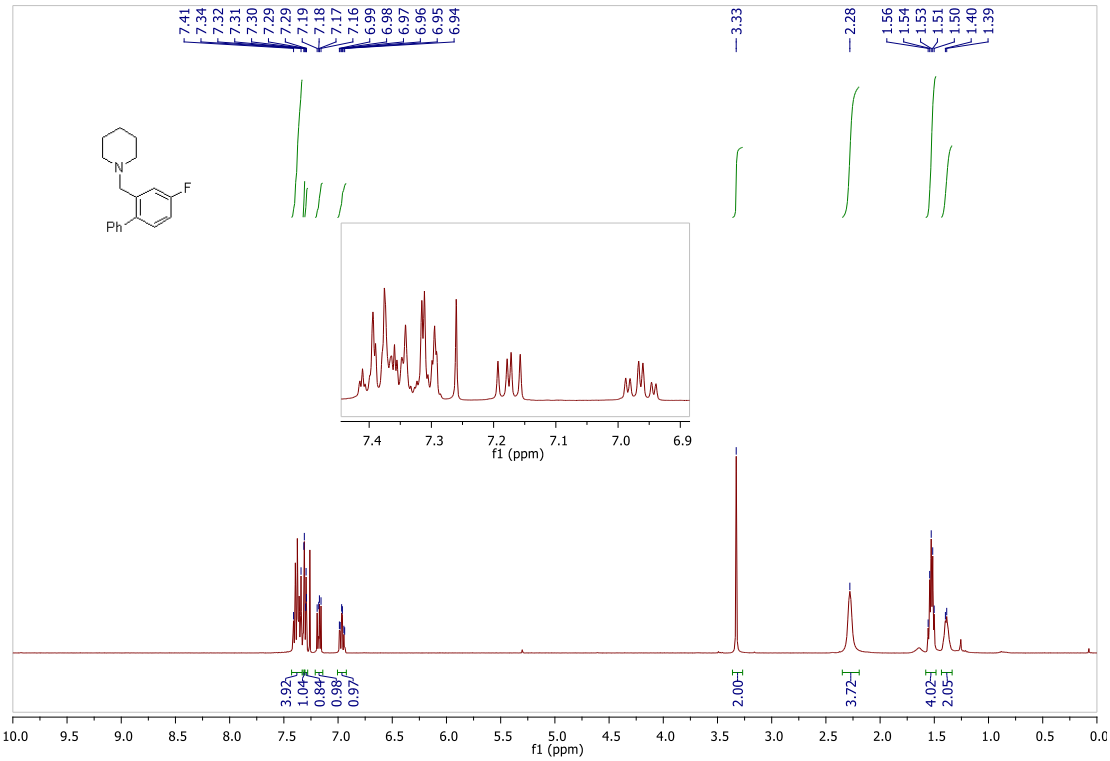
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4'-methoxy-[1,1':3',1"-terphenyl]-2'-yl)methyl)piperidine (**4f'**)



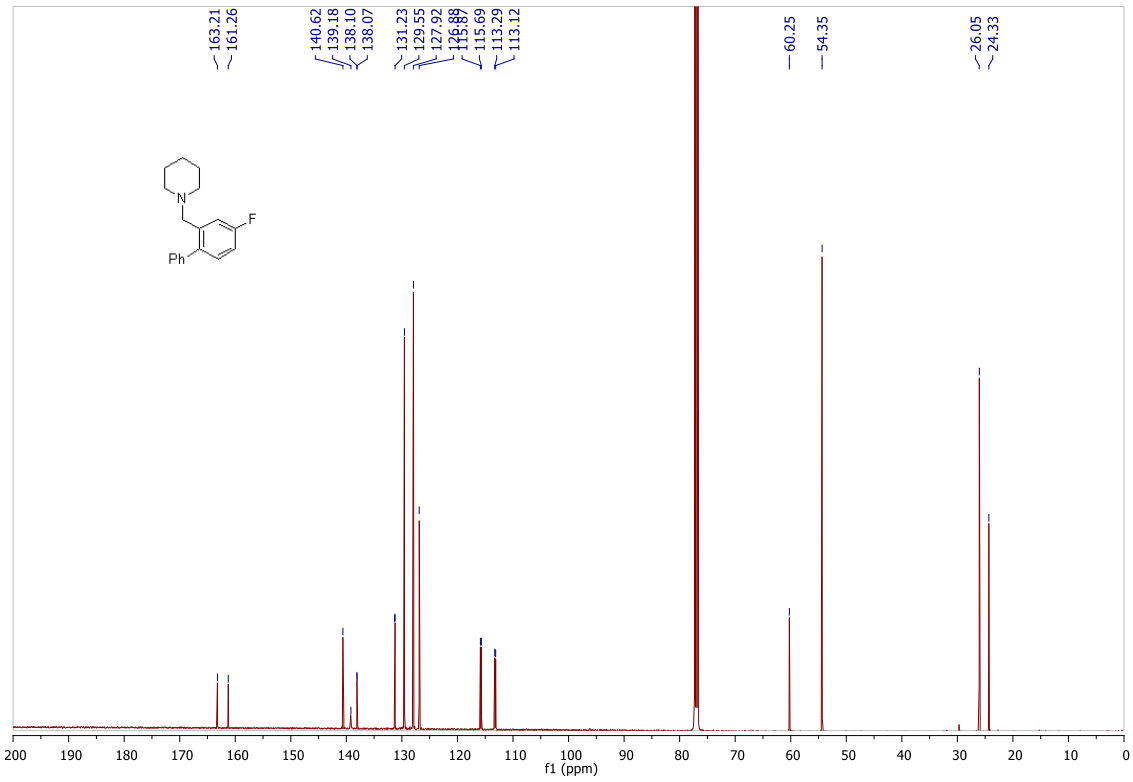
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4'-methoxy-[1,1':3',1"-terphenyl]-2'-yl)methyl)piperidine (**4f'**)



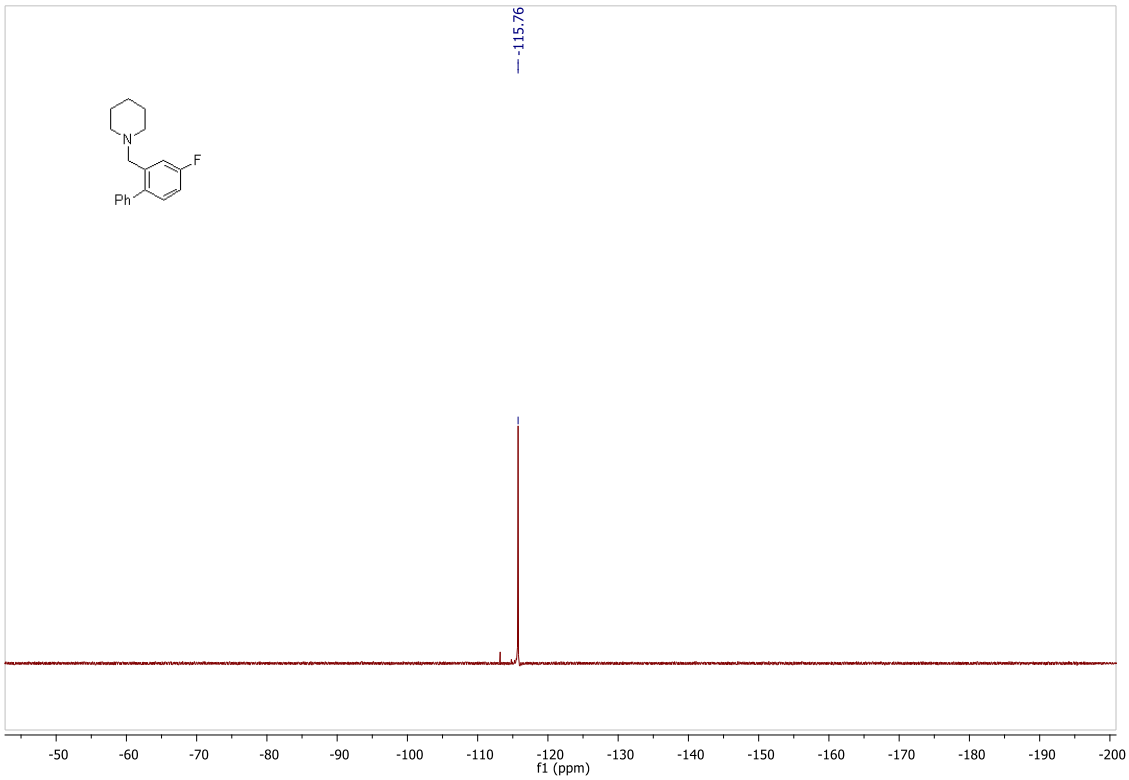
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4-fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4g**)



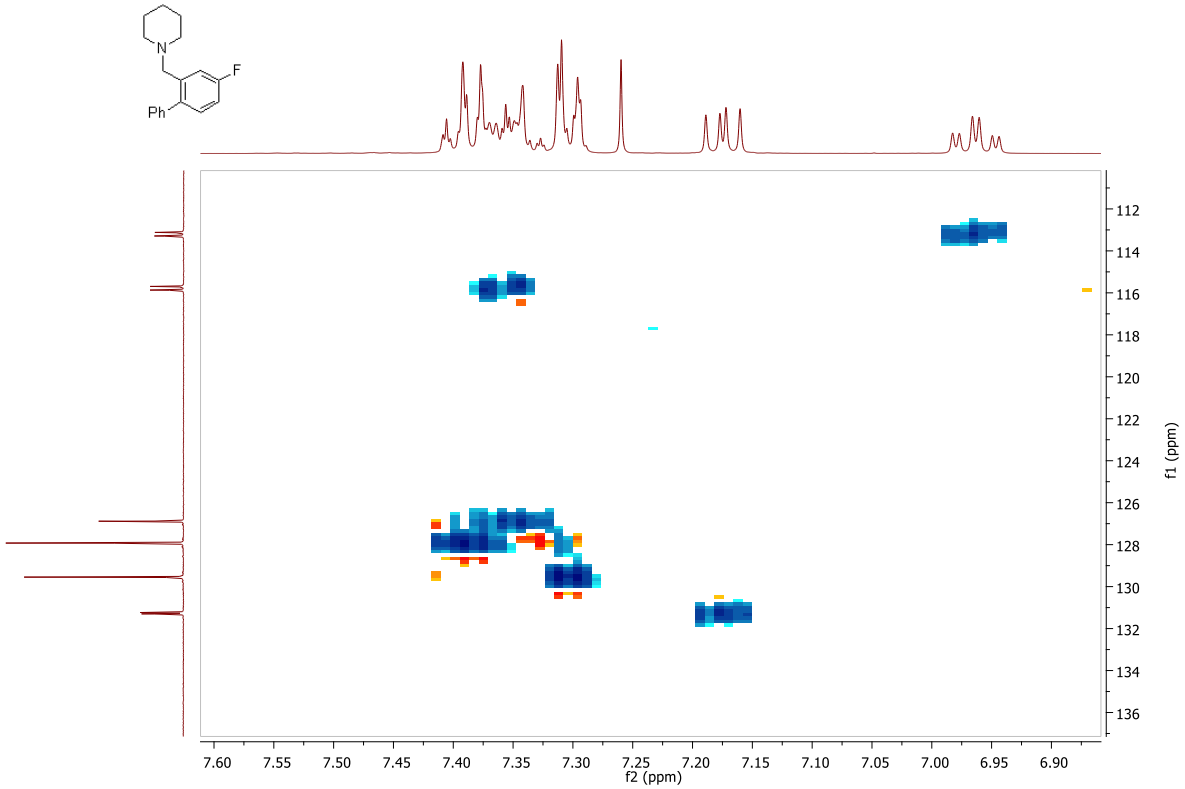
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4-fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4g**)



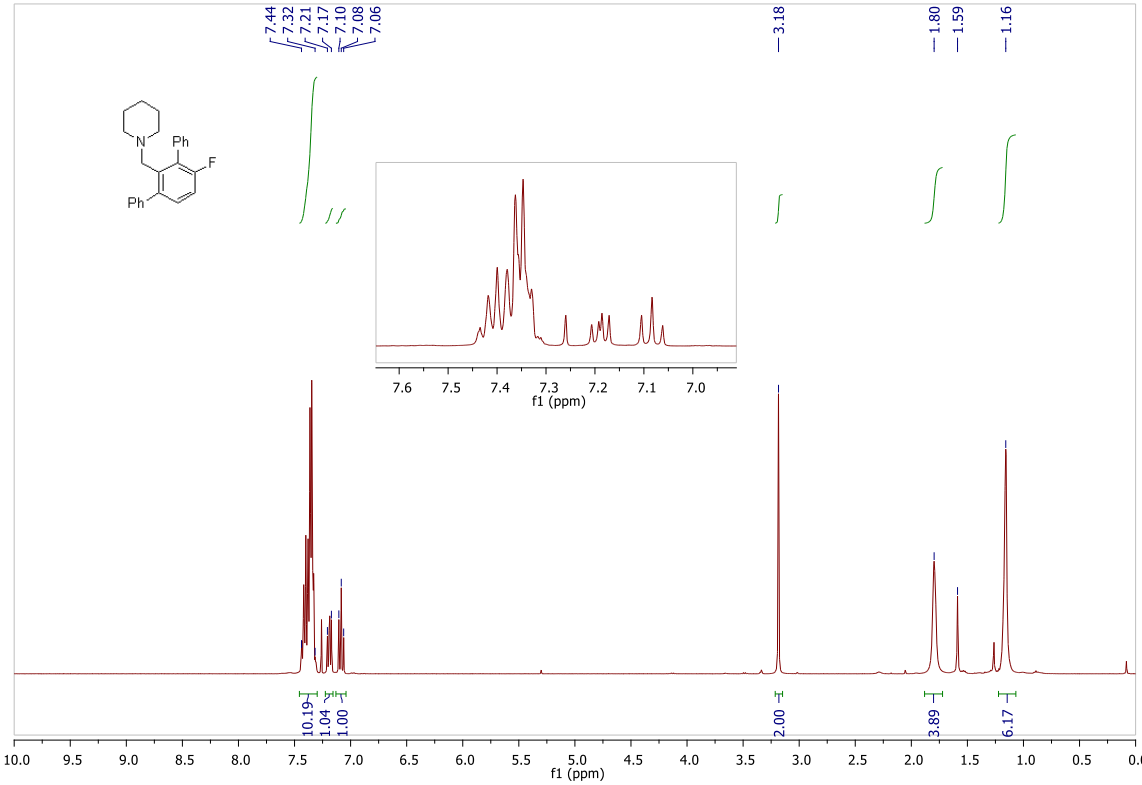
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((4-fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4g**)



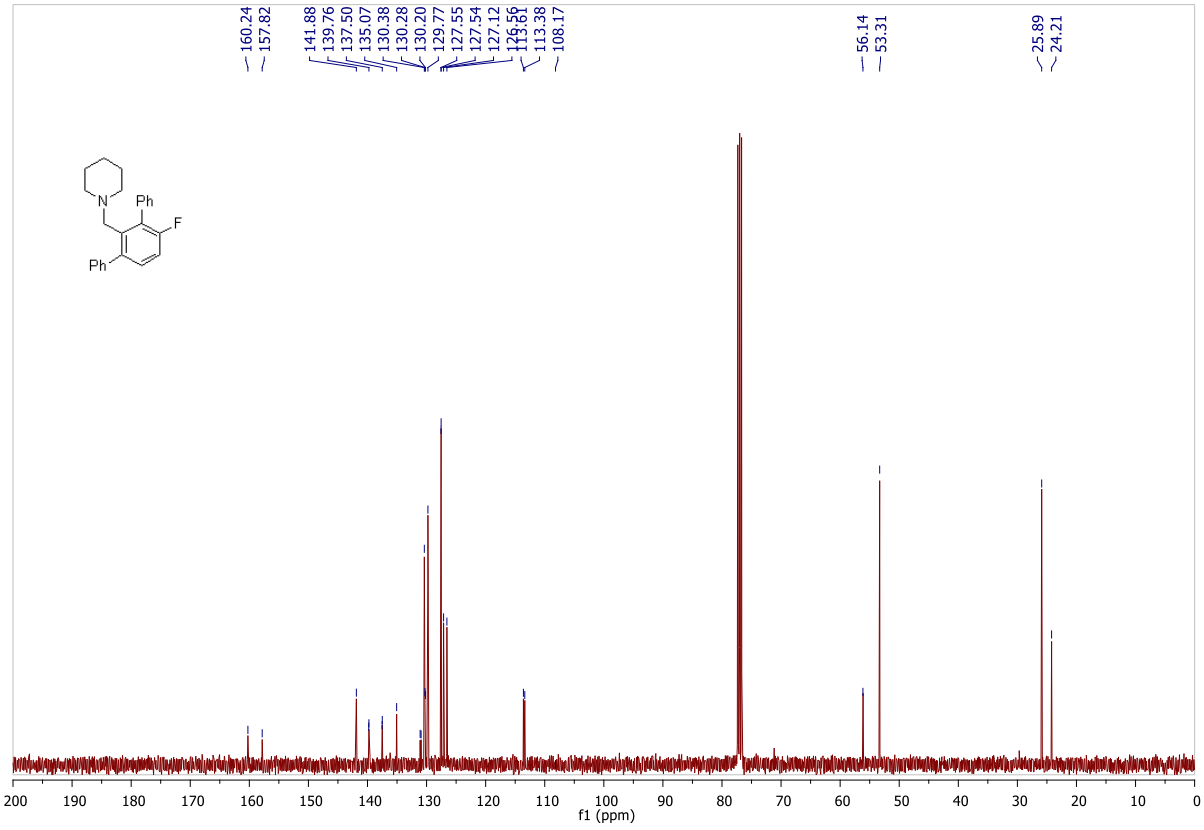
HSQC of 1-((4-fluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine (**4g**)



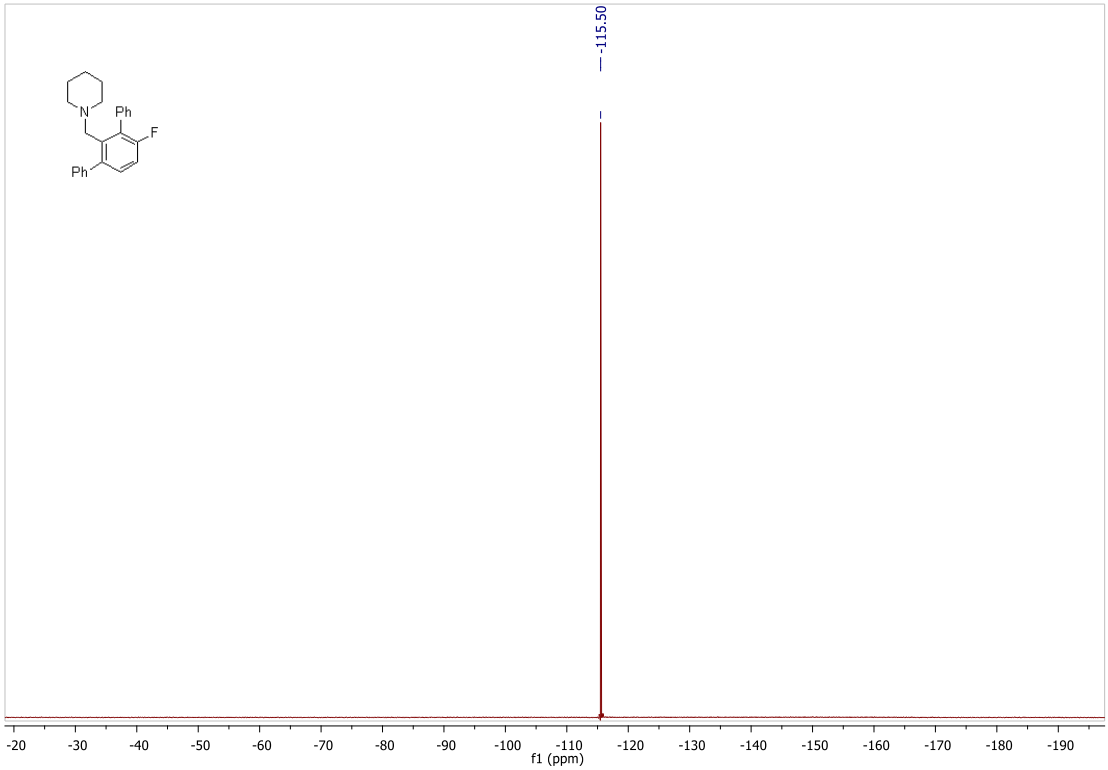
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((4'-fluoro-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine (**4g'**)



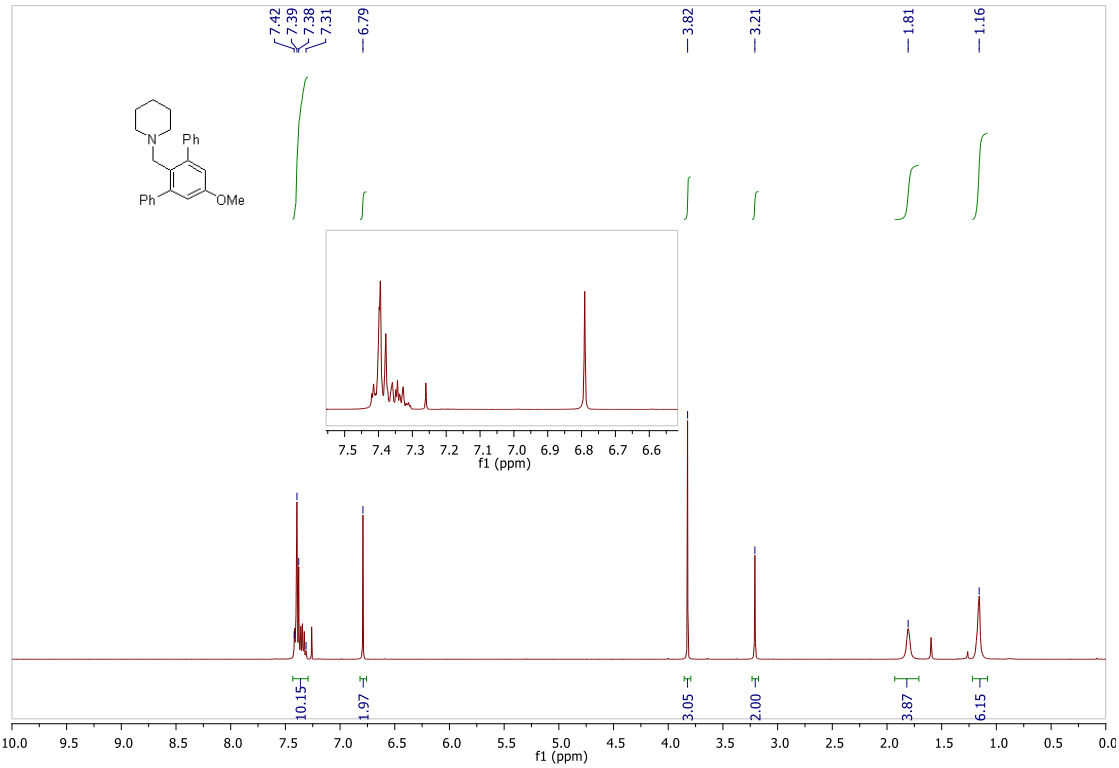
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((4'-fluoro-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine (**4g'**)



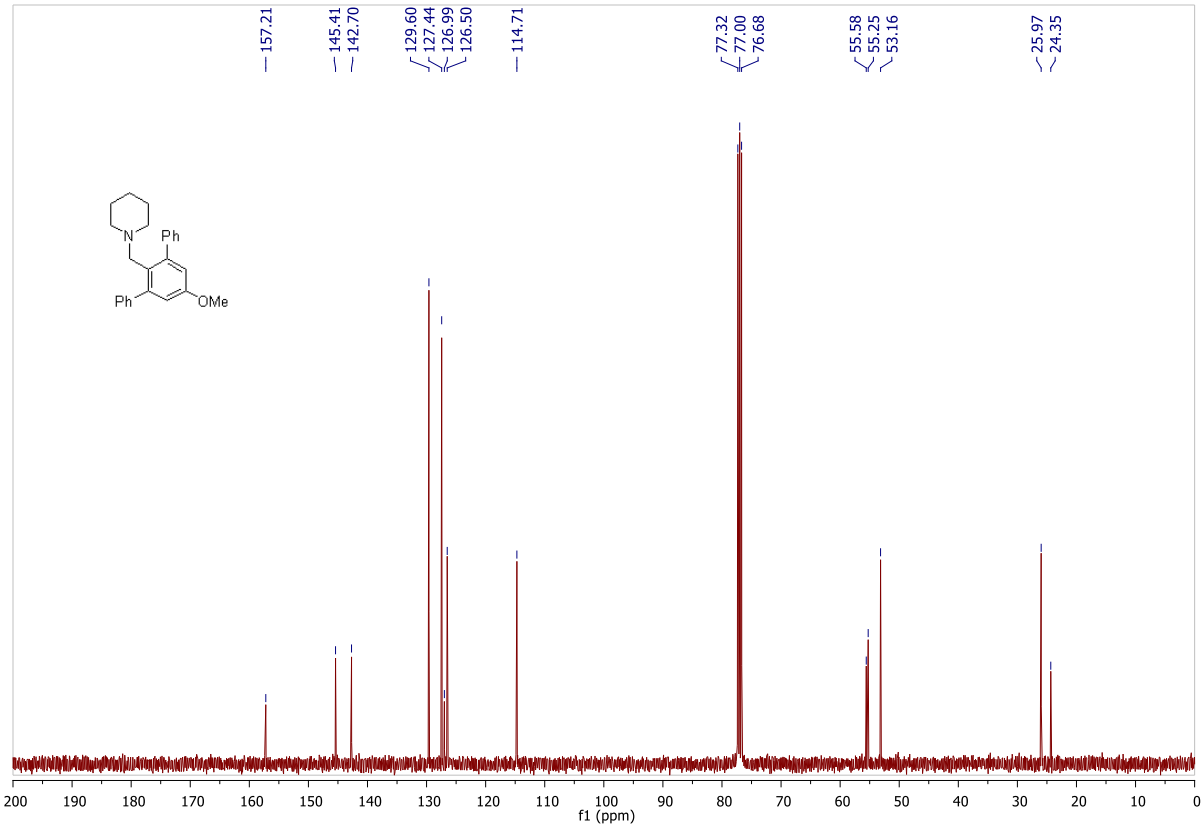
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((4'-fluoro-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine (**4g'**)



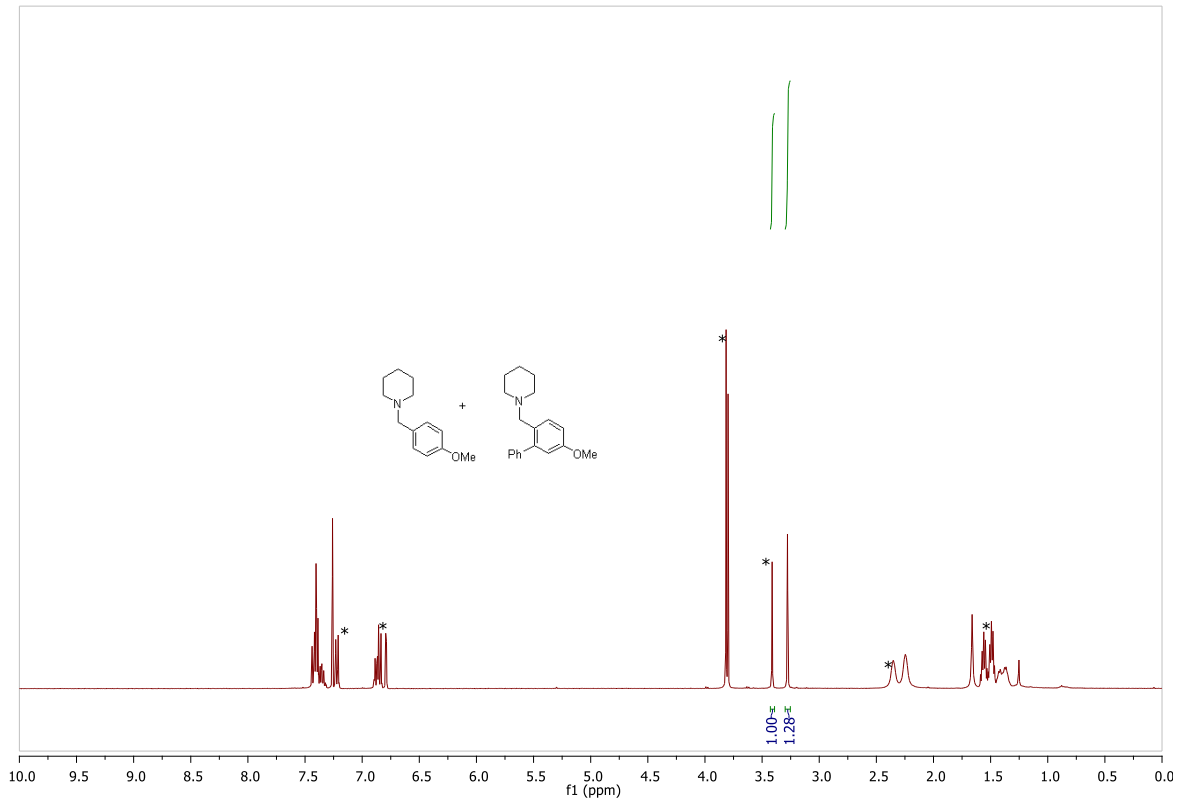
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((5'-methoxy-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine **(4h')**



^{13}C NMR (101 MHz, $CDCl_3$) of 1-((5'-methoxy-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine **(4h')**

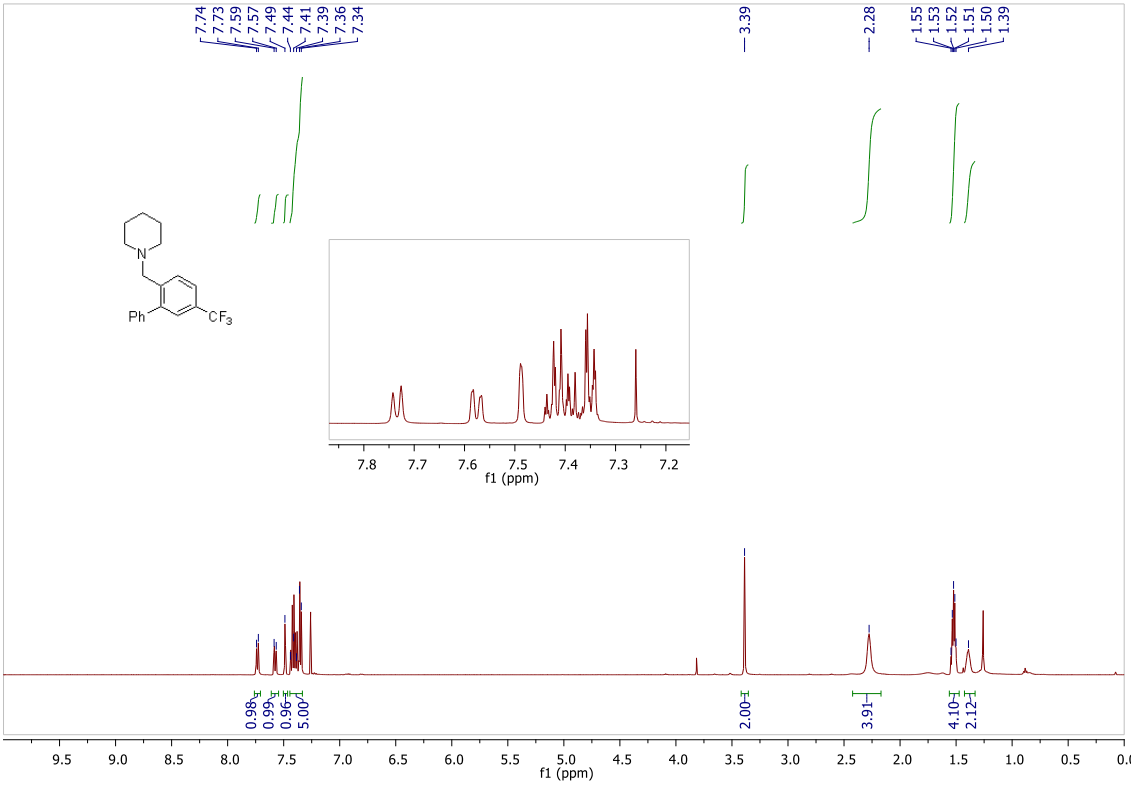


^{1}H NMR (400 MHz, $CDCl_3$) of a mixture of **4h** and SM

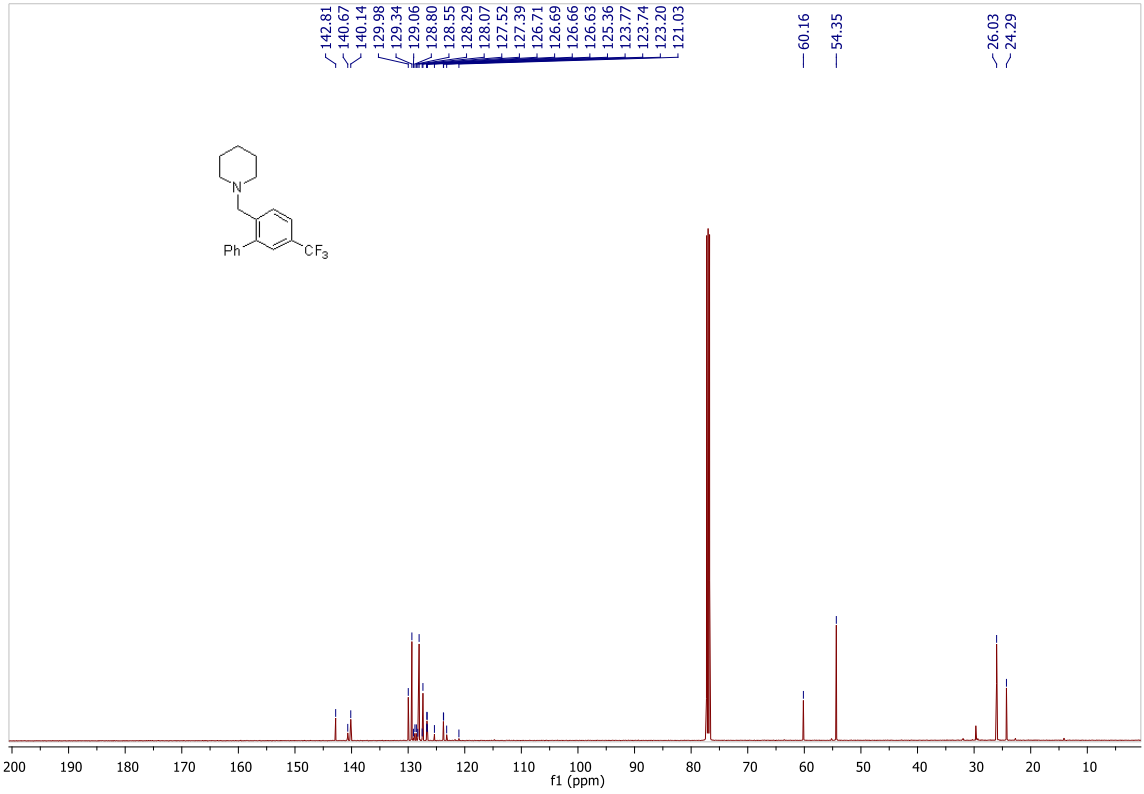


* NMR signals of the SM, 1-(4-methoxybenzyl)piperidine

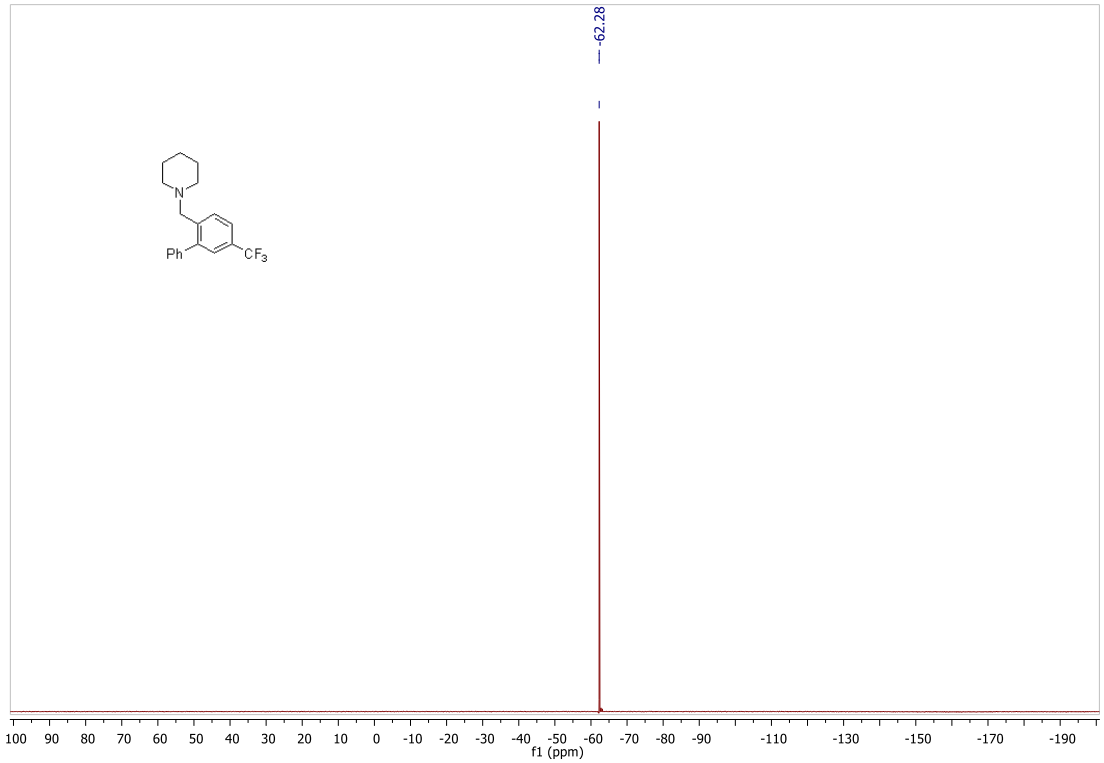
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((5-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine **(4i)**



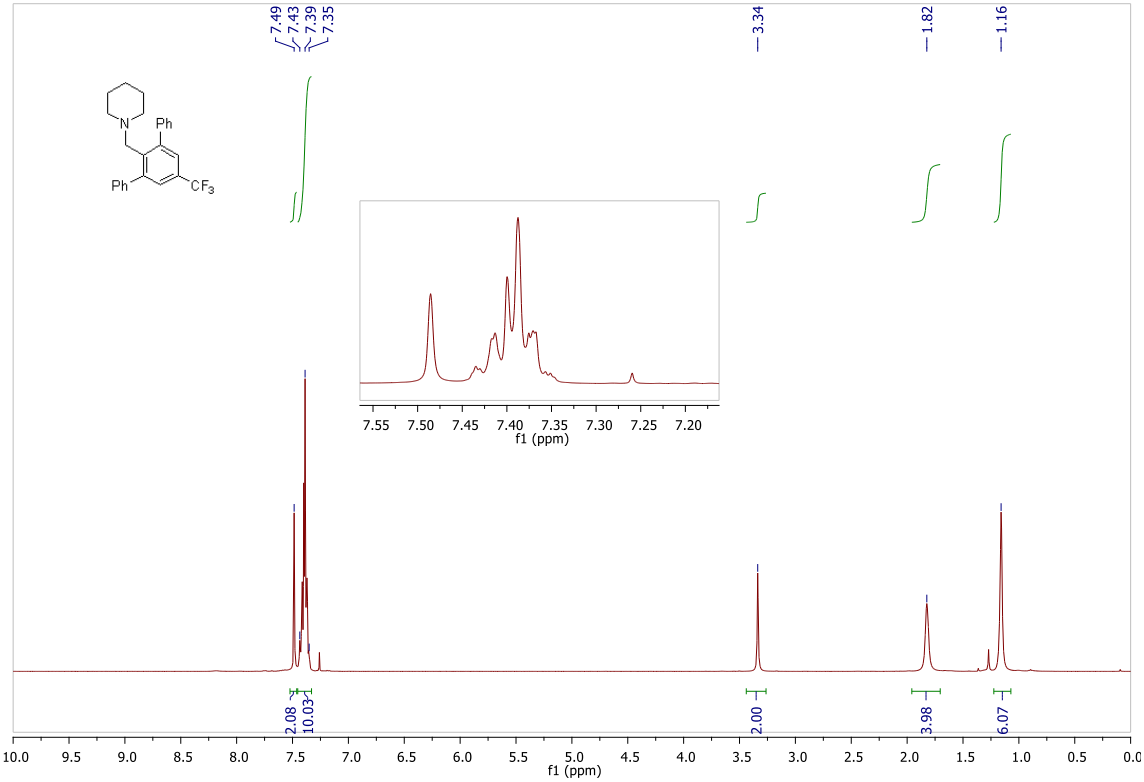
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((5-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine **(4i)**



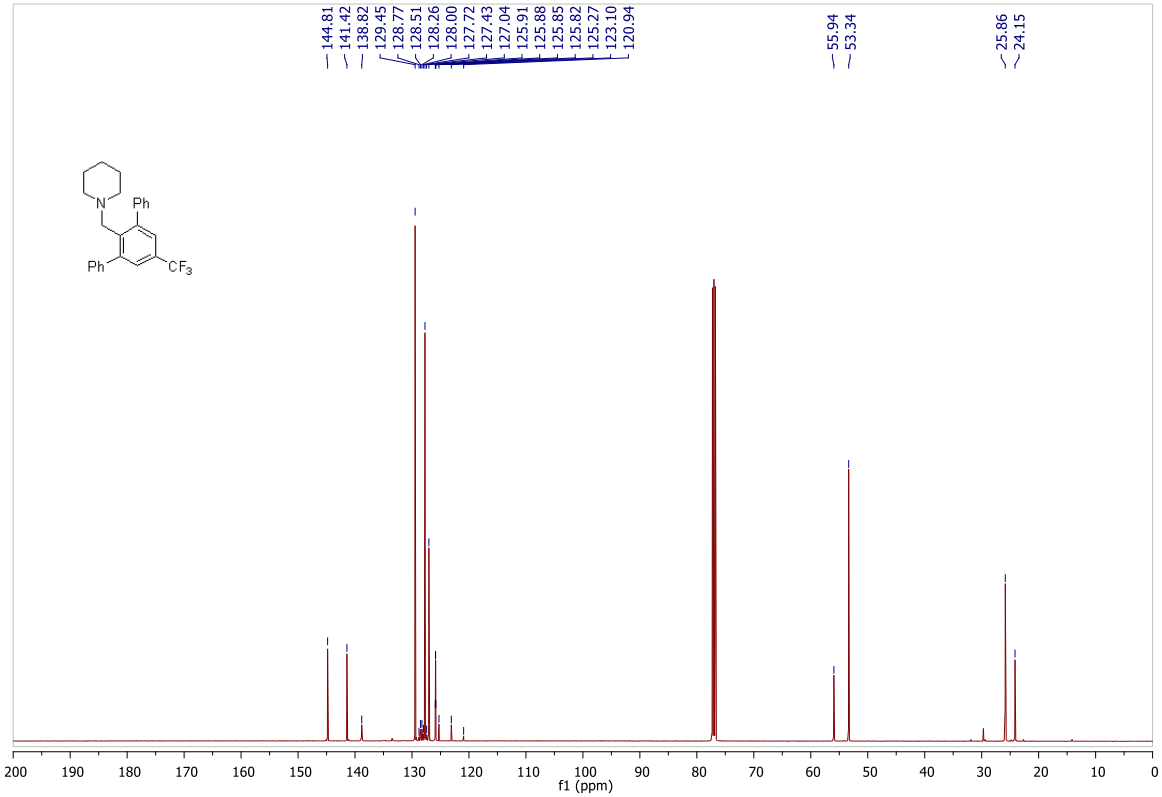
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((5-(trifluoromethyl)-[1,1'-biphenyl]-2-yl)methyl)piperidine **(4i)**



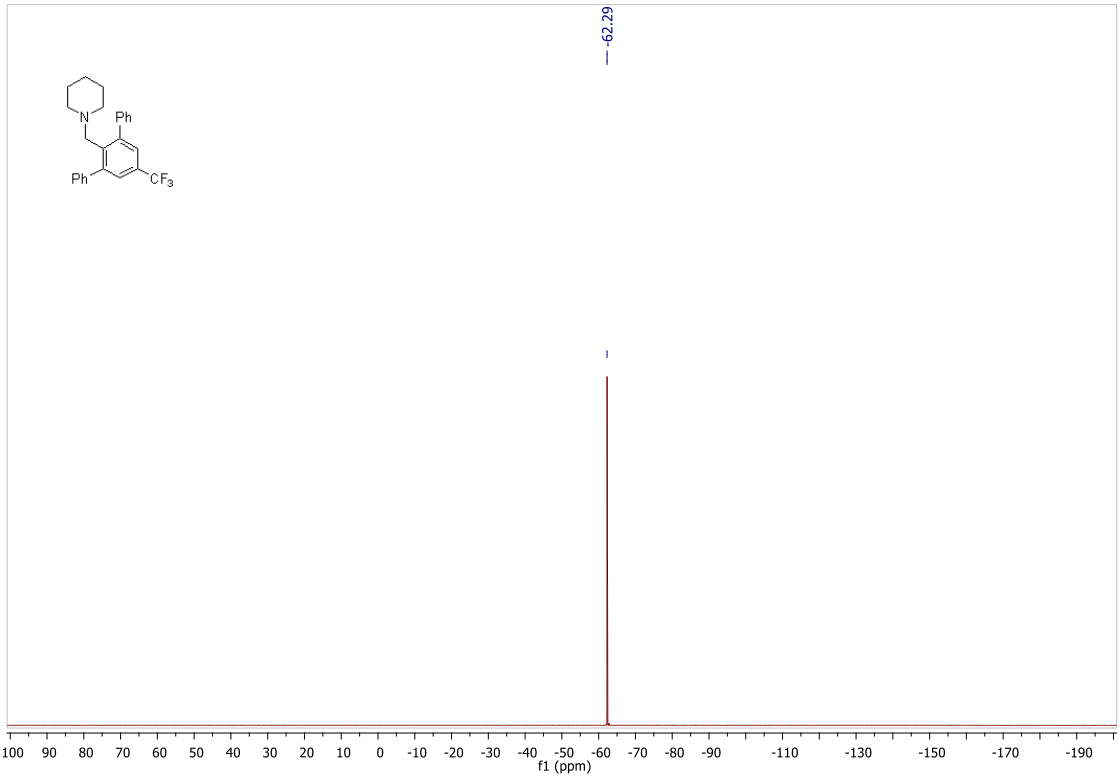
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((5'-(trifluoromethyl)-[1,1':3',1"-terphenyl]-2'-yl)methyl)piperidine **(4i')**



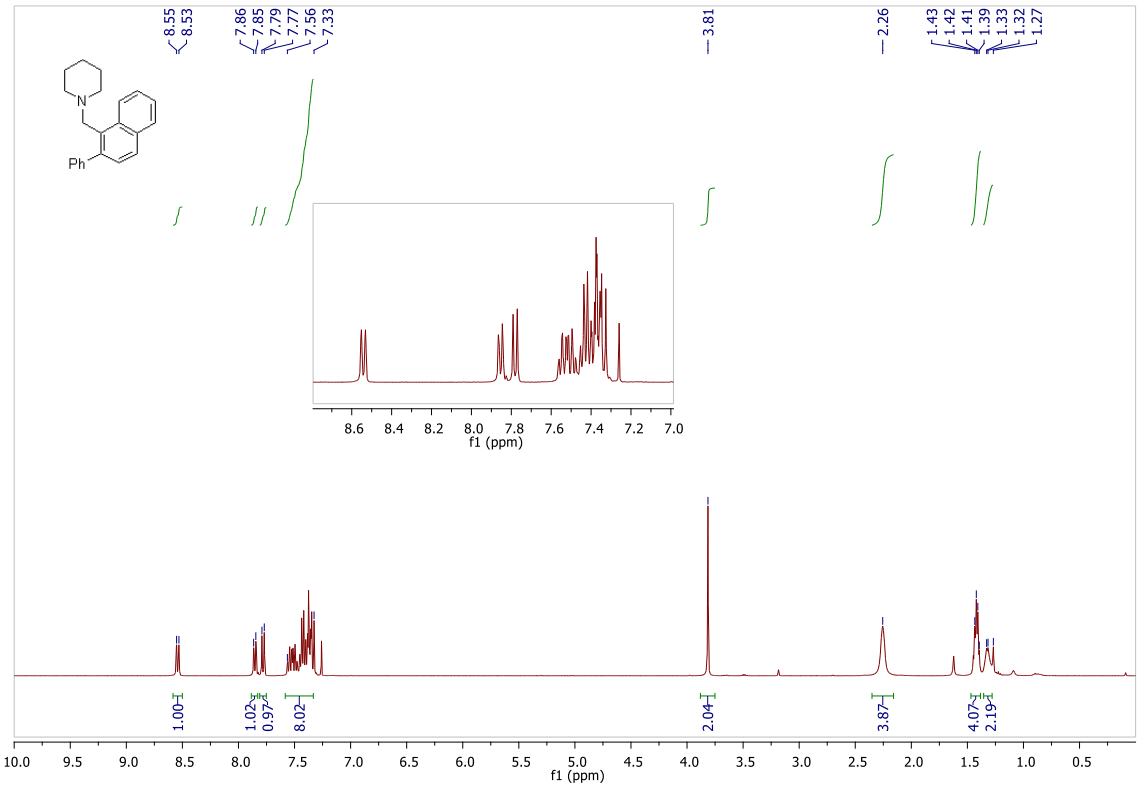
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((5'-(trifluoromethyl)-[1,1':3',1"-terphenyl]-2'-yl)methyl)piperidine **(4i')**



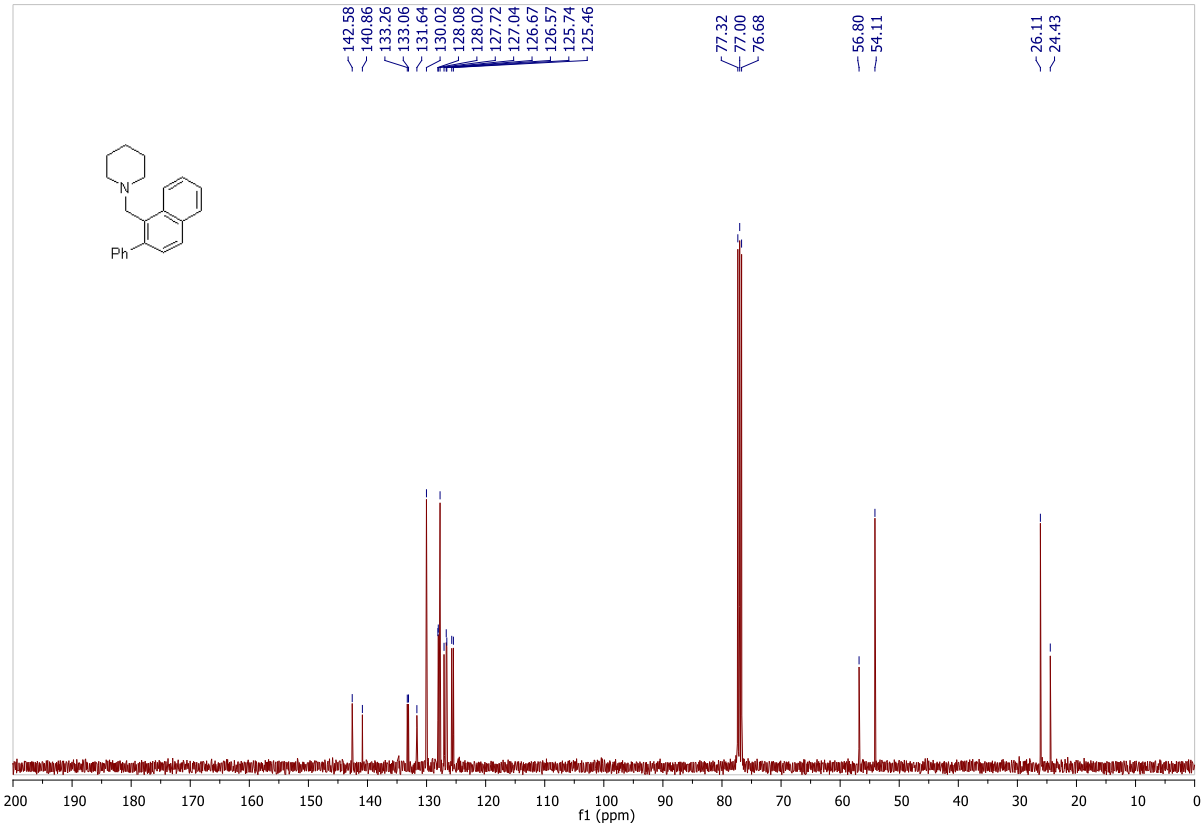
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((5'-(trifluoromethyl)-[1,1':3',1''-terphenyl]-2'-yl)methyl)piperidine **(4i')**



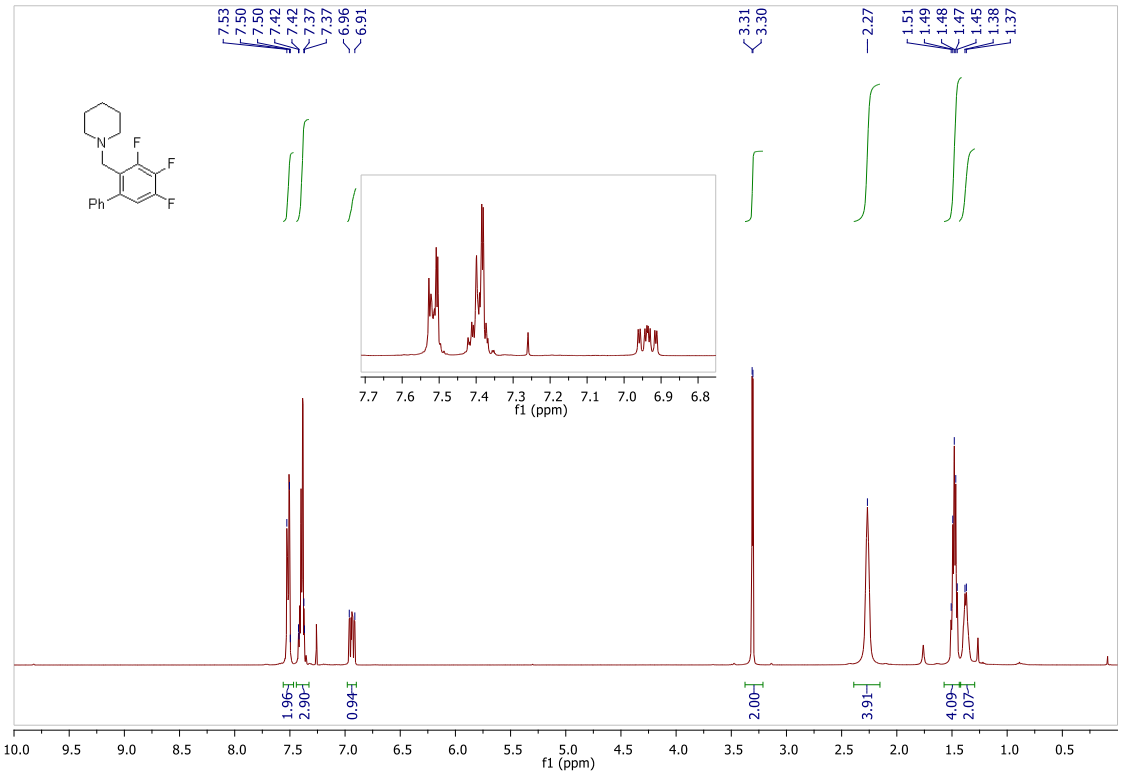
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((2-phenylnaphthalen-1-yl)methyl)piperidine **(4j)**



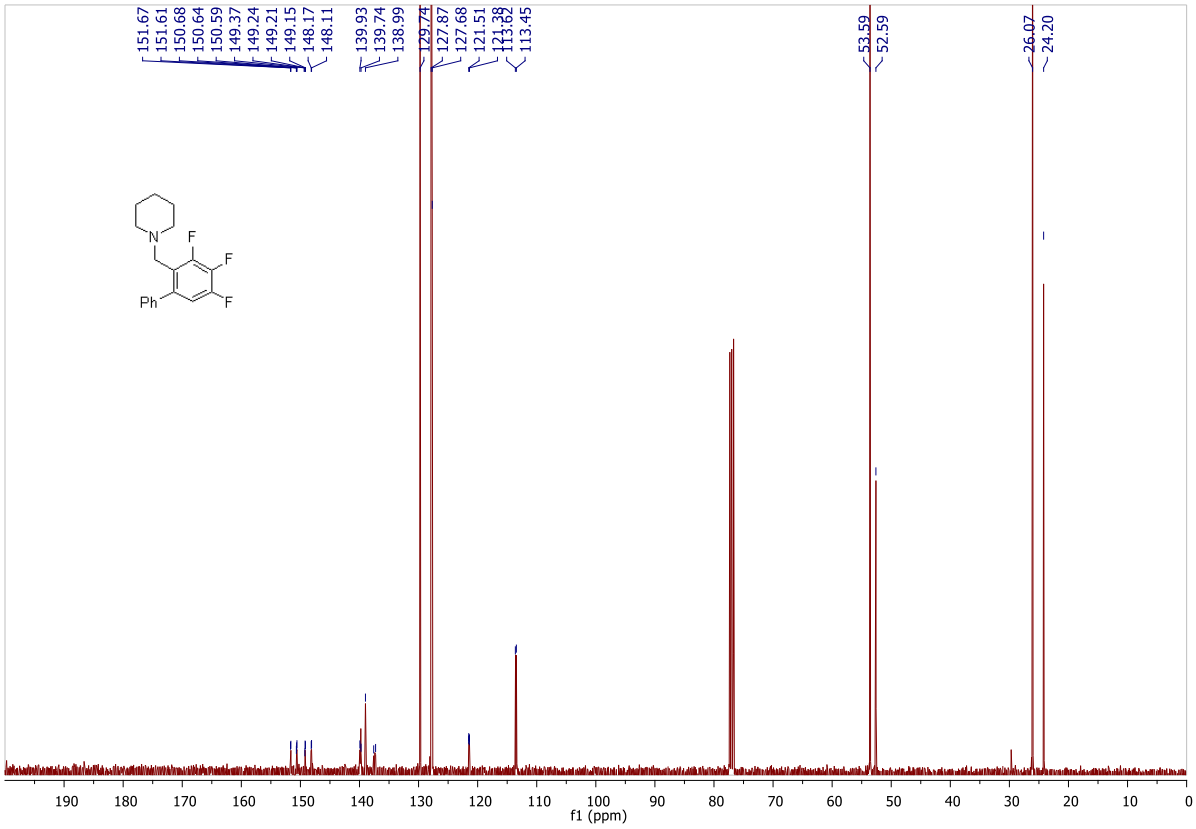
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((2-phenylnaphthalen-1-yl)methyl)piperidine **(4j)**



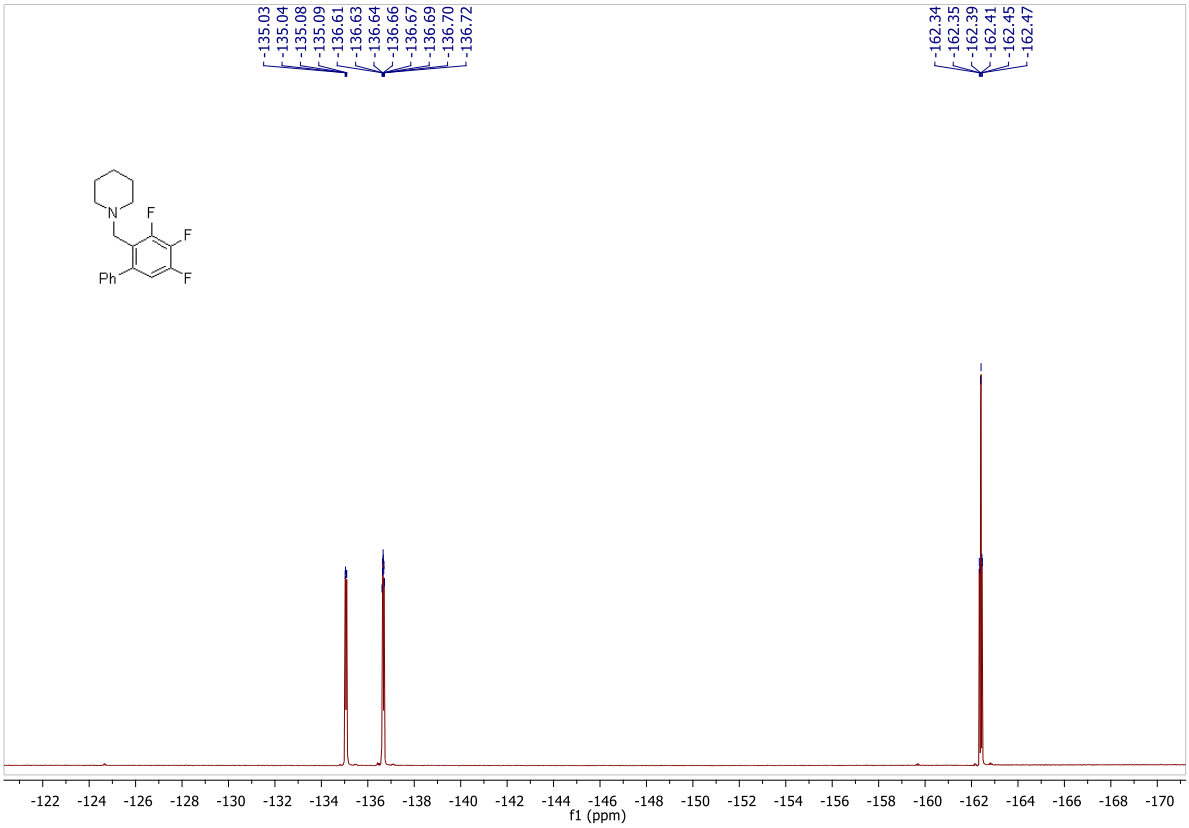
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3,4,5-trifluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine **(4k)**



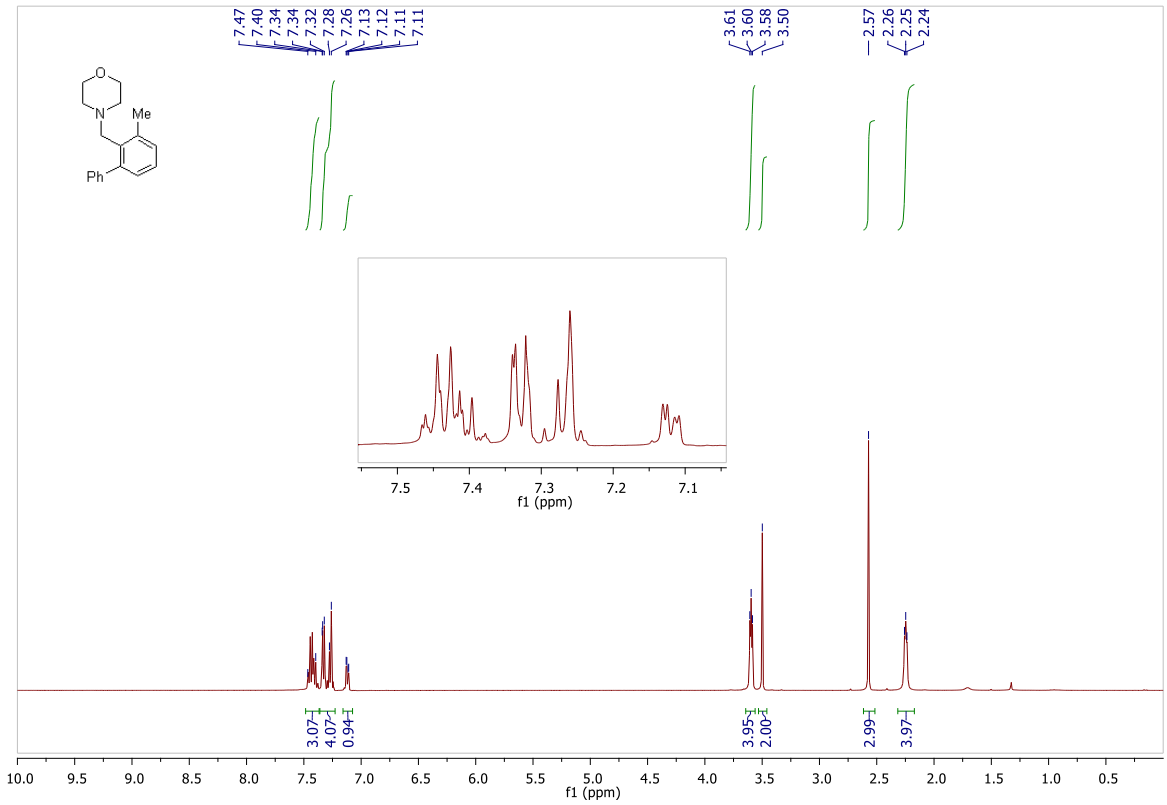
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3,4,5-trifluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine **(4k)**



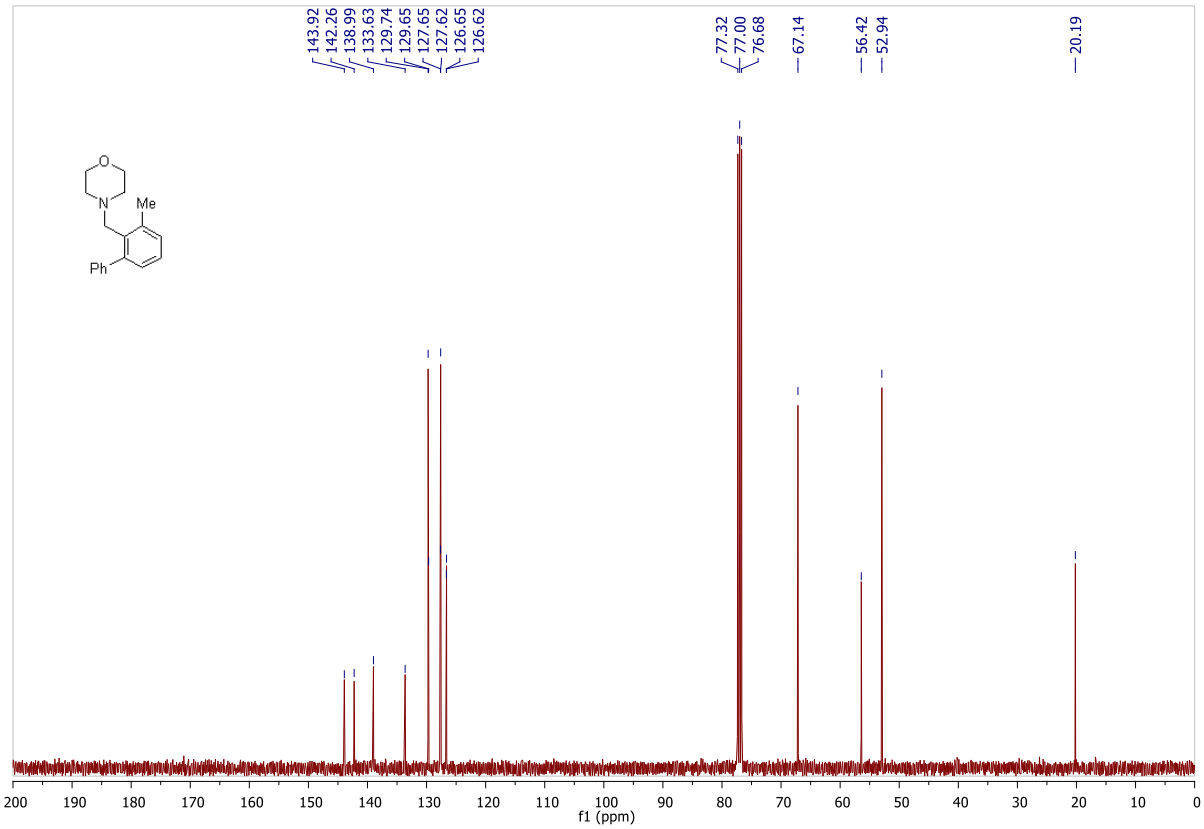
^{19}F NMR (377 MHz, $CDCl_3$) of 1-((3,4,5-trifluoro-[1,1'-biphenyl]-2-yl)methyl)piperidine **(4k)**



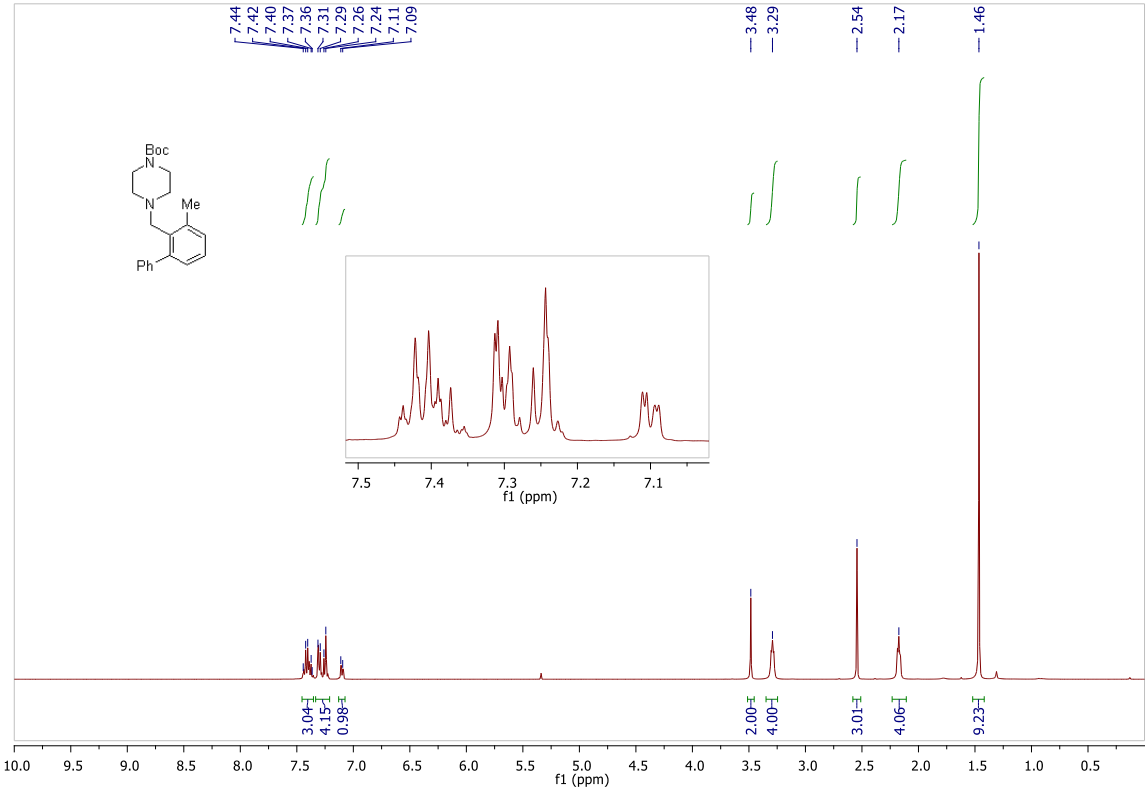
^{1}H NMR (400 MHz, $CDCl_3$) of 4-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)morpholine **(6a)**



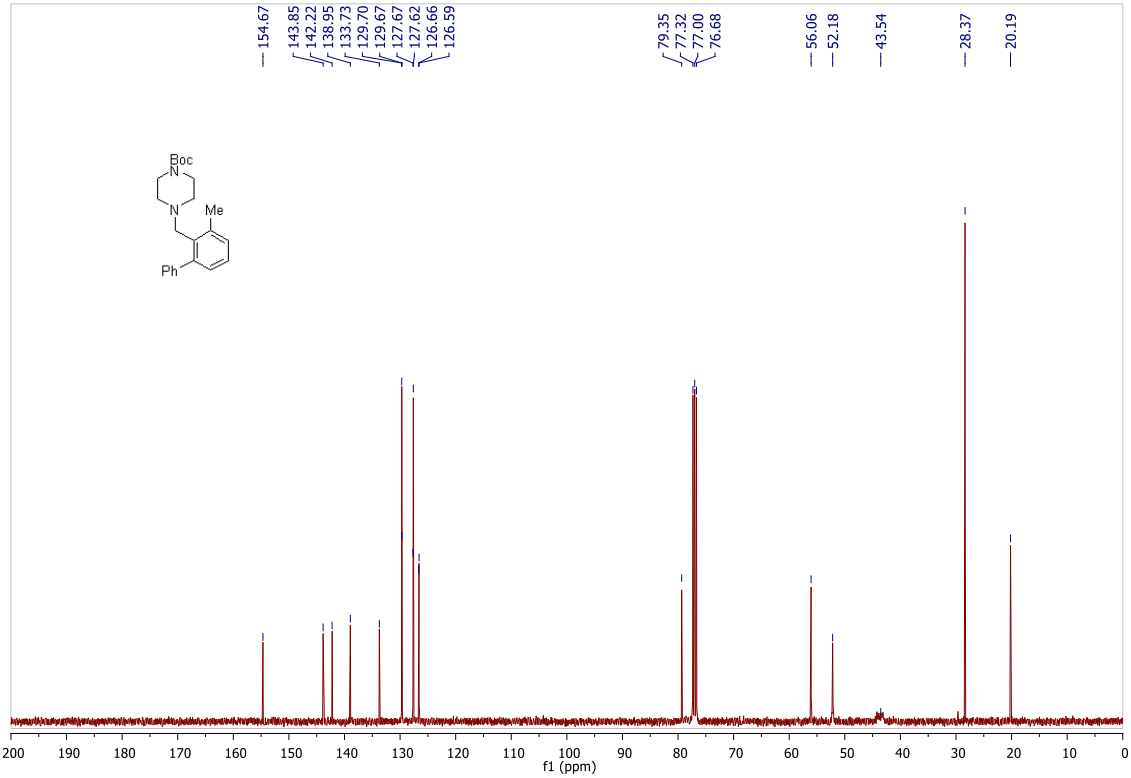
^{13}C NMR (101 MHz, $CDCl_3$) of 4-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)morpholine **(6a)**



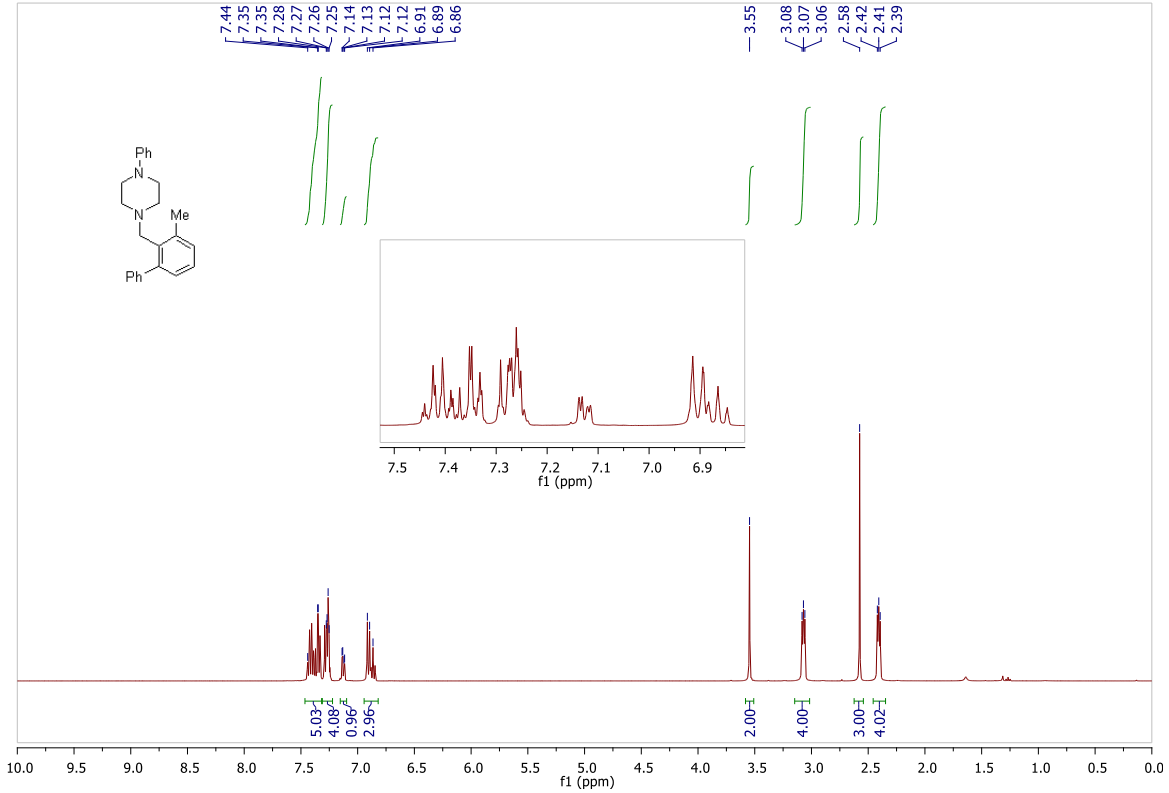
^{1}H NMR (400 MHz, $CDCl_3$) of *tert*-butyl 4-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperazine-1-carboxylate **(6b)**



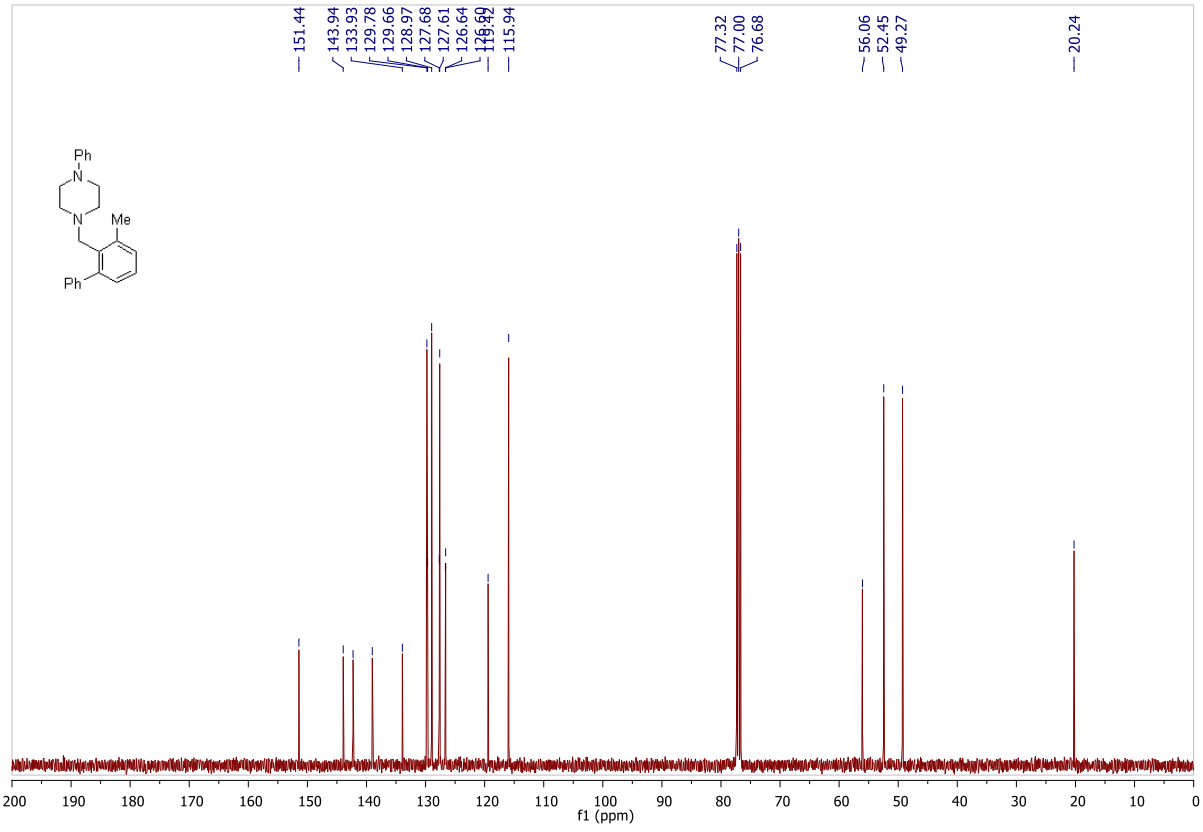
^{13}C NMR (101 MHz, $CDCl_3$) of *tert*-butyl 4-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)piperazine-1-carboxylate **(6b)**



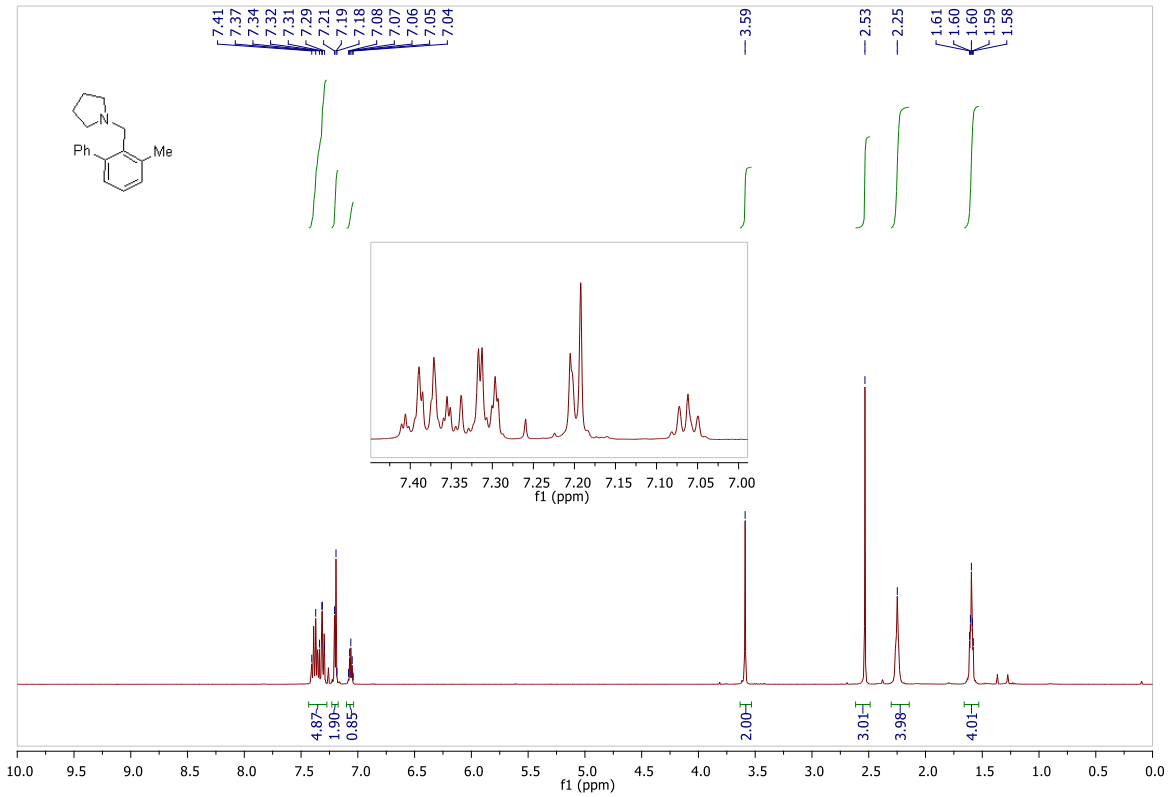
^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)-4-phenylpiperazine **(6c)**



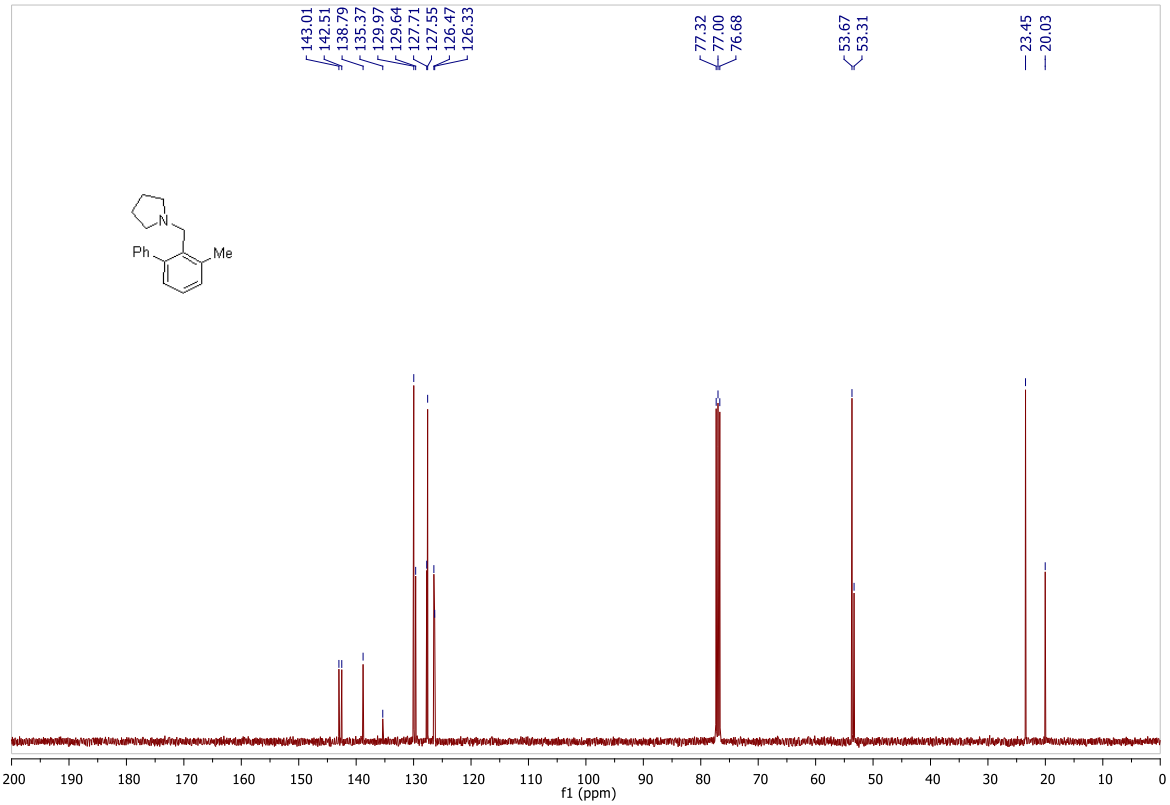
^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)-4-phenylpiperazine **(6c)**



^{1}H NMR (400 MHz, $CDCl_3$) of 1-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)pyrrolidine **(6d)**



^{13}C NMR (101 MHz, $CDCl_3$) of 1-((3-methyl-[1,1'-biphenyl]-2-yl)methyl)pyrrolidine **(6d)**



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