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Supporting Information

A New Type of Heterogeneous Catalysis Strategy for Organic Reaction：Highly Stable MOFs with Exposed Carboxyl Groups Catalyzed Ugi-3CR

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1. Experimental section

1.1 General Information

Reactions were monitored by analytical thin-layer chromatography (TLC) on Silica gel plates (GF254). The TLC plates were isualized by shortwave (254 nm) or longwave (365 nm) UV light. Column chromatography was carried out using silica gel (200-300 mesh) to purify the product. ^{1}H NMR (400 MHz) and ^{13}C NMR (100 MHz) spectra were recorded in $CDCl_3$ on Bruker AVANCE III 400 MHz spectrometers using TMS as the internal standard ($CDCl_3$ δ_H = 7.26 ppm, downfield from TMS, δ_C = 77.16 ppm). Chemical shifts are given in ppm downfield from tetramethylsilane (TMS) as an internal reference, and coupling constants (J-values) are in Hertz (Hz). ^{1}H NMR assignment abbreviations are the following; singlet (s), doublet (d), triplet (t), quartet (q), broad singlet (bs), doublet of doublets (dd), triplet of doublets (td), doublet of a triplets (dt) and multiplet (m). The high-resolution mass spectra (HRMS) were recorded in waters G2-Xs qtof mass spectrometer. Melting points were measured using a WRR point instrument and are uncorrected. All reagents and solvents were purchased from commercial sources and used without further purification. All the reaction was under air atmosphere.

1.2 Preparation of Cu-COOH@MOF-6

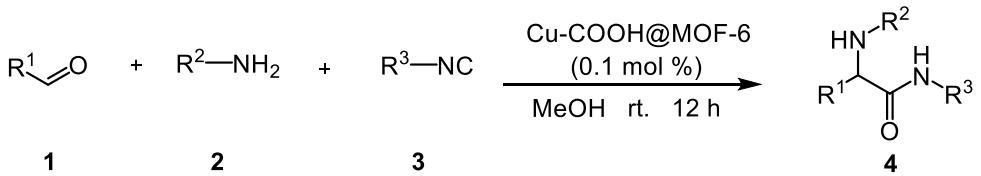
Cu $(ClO_4)_2 \cdot 6H_2O$ (29.64 mg, 0.08 mmol), Br_4-H_2pta (19.27 mg, 0.04 mmol), and 2,2'-bpy (3.12 mg, 0.02 mmol), HNO_3 (1 M, 0.8 mL) were dissolved in deionized water (10 mL). The solution was stirred at room temperature for 10 minutes, and then the mixture was transferred into a 25 mL teflonlined stainless steel vessel and heated at 120 ^{o}C for 3 days under autogenous pressure, followed by cooling slowly to room temperature. Blue blocked crystals were collected by filtration after washing with deionized water and allowed to dry in air. Blue blocked crystals were obtained by filtration with yields up to 93%.

Br_4-H_2pta = tetrabromobenzoic acid, 2,2'-bpy = 2,2'-dipyridyl.

1.3 Preparation of Cu-MOF-4

Cu-MOF-4 was synthesized in a similar way to that of Cu-COOH@MOF-6, except that KOH (0.1 M, 0.8 mL) was used instead of HNO3 (1 M, 0.8 mL). Finally, blue blocked crystals were obtained by filtration with yields up to 91%.

1.4 Typical Procedure for the Synthesis of 4

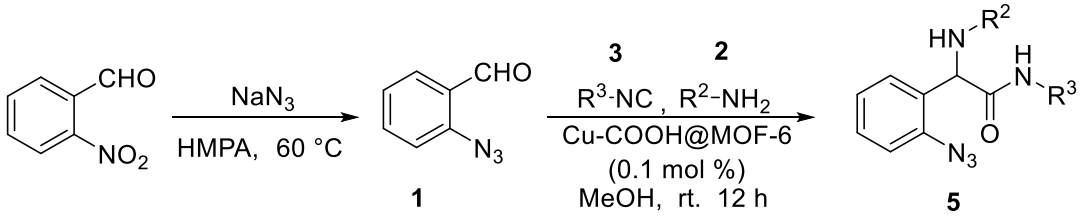


1 **2** **3** **4**

A 50 mL round bottom flask was filled with aldehyde **1** (1.0 mmol, 1.0 equiv) and 3 mL methanol of the solvent. Then amine **2** (1.0 mmol, 1.0 equiv), isocyanide **3** (1.0

mmol, 1.0 equiv) and Cu-COOH@MOF-6 catalyst (0.1 mol %) were added and the reaction mixture was stirred for 12 h at atmospheric temperature until the reaction was completed (TLC). After completion, the crude product and catalyst were collected by filtration. The crude product was directly subjected to flash chromatography on silica gel column chromatography with petroleum ether/ethyl acetate to give pure product **4**.

1.5 Typical Procedure for the Synthesis of 5



Procedure for starting materials 1: Around bottom flask equipped with a stir bar was charged with the 2-nitrobenzaldehyde (30 mmol, 1.0 equiv) and NaN_3 (60 mmol, 2.0 equiv) in HMPA (2.5 equiv). The flask was not sealed and in contact with air. Under stirring constantly, the flask was then placed in an oil bath and heated to 60 °C for 24 h. After completion, the reaction solution was cooled to room temperature and poured into deionized water (0 °C) with uniform stirring and left to stand. Subsequently, gradual precipitation of a yellowish solid was observed. After all the solids were precipitated, o-azidobenzaldehyde was obtained by simple washing, filtration and drying.

Procedure for target compounds 5: In a round bottom flask, a mixture of o-azidobenzaldehyde **1** (1 mmol, 1 equiv) was dissolved in 2 mL of MeOH solution and the amine **2** (1 mmol, 1 equiv), Cu-COOH@MOF-6 catalyst (0.1 mol %) were added sequentially and 1 mL of MeOH was added after 5 min of reaction. Subsequently, isonitrile **3** was added and stirred at room temperature for 12-24 h. The solvent was removed by distillation under reduced pressure after completion. The residue was purified by silica gel flash column chromatography eluting with the mixture of petroleum/ethyl acetate ether which eventually afforded the pure product **5**.

2. X-ray Crystallography

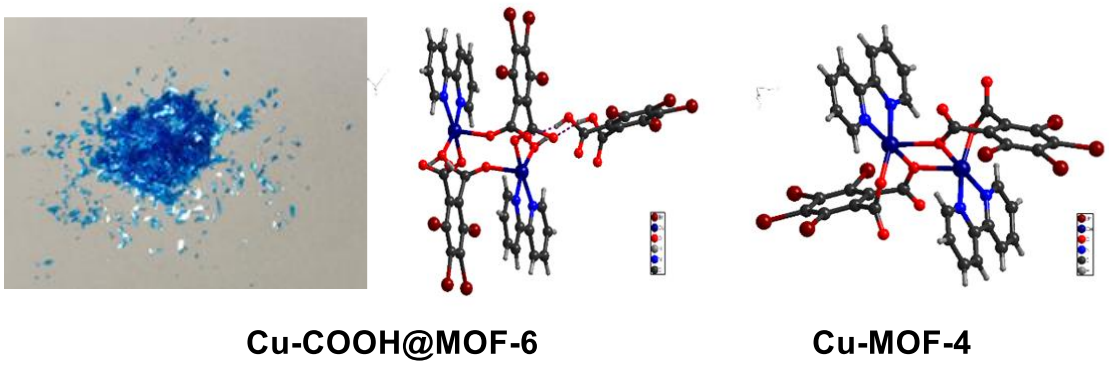


Fig. S1 Crystal structure of Cu-COOH@MOF-6 and Cu-MOF-4

3. XRD of Cu-COOH@MOF-6

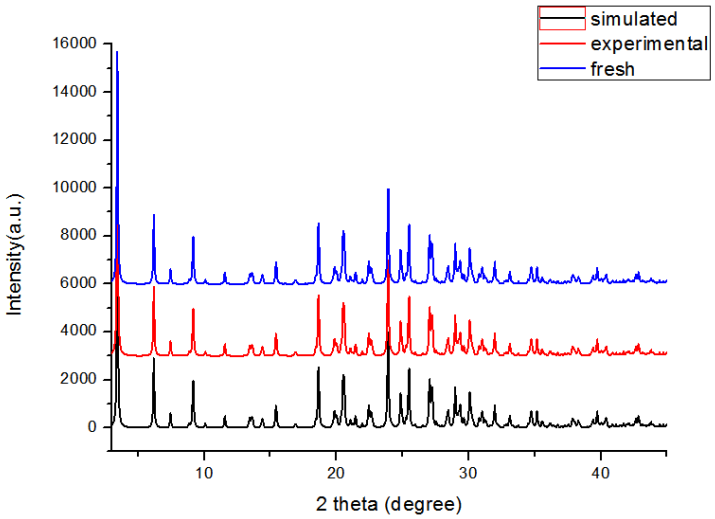


Fig. S2 Comparison of XRD patterns of Cu-COOH@MOF-6 before and after the reaction.

Diffraction data for the Cu-COOH@MOF-6 and Cu-MOF-4 were collected on a XtaLAB PRO MM003(Cu) using graphite monochromated Cu Kα radiation (λ = 1.54184 Å) with the φ/ω scan technique. The crystal structures were solved by intrinsic phasing methods and refined by the full-matrix least-squares methods on F^2 using Olex2-1.5 and SHELXTL. The non-hydrogen atoms were refined anisotropically. The details of crystal data and structure refinement are given in Table S1. The selected bond lengths and bond angles of Cu-COOH@MOF-6 and Cu-MOF-4 are given in Table S1, respectively. CCDC numbers: 2132560 for Cu-COOH@MOF-6 and 2132613 for Cu-MOF-4. These data can be obtained free of charge from the Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.

Table S1. Crystal data and structure refinement parameters of Cu-COOH@MOF-6 and Cu-MOF-4

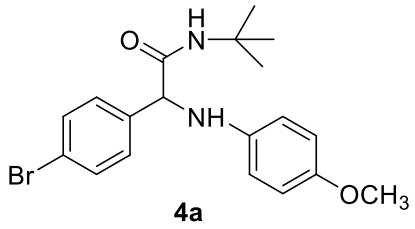
Cu-MOFs	Cu-COOH@MOF-6	Cu-MOF-4
Empirical formula	$C_{26}H_{12}Br_8CuN_2O_9$	$C_{18}H_8Br_4CuN_2O_4$
Formula weight	1199.20	699.44
Temperature/K	100.00(10)	293(2)
Crystal system	monoclinic	triclinic
Space group	*P2₁/c*	*P-1*
a/Å	8.65370(10)	11.5369(2)
b/Å	32.2130(3)	12.8295(2)
c/Å	12.2035(2)	15.1063(3)
α/°	90	70.620(2)
β/°	109.870(2)	81.490(2)

γ/°	90	88.3090(10)
Volume/Å^3	3199.34(8)	2085.55(7)
Z	4	4
ρcalcg/cm^3	2.490	2.228
μ/mm^{-1}	13.165	10.713
F(000)	2252.0	1324.0
2Θ range for data collection/°	5.486 to 130.174	7.306 to 133.184
Index ranges	-10 ≤ h ≤ 9, -37 ≤ k ≤ 34, -14 ≤ l ≤ 14	-13 ≤ h ≤ 13, -15 ≤ k ≤ 14, -17 ≤ l ≤ 15
Reflections collected	14898	21357
Independent reflections	5303 [Rint = 0.0255, Rsigma = 0.0273]	7344 [Rint = 0.0417, Rsigma = 0.0425]
Data/restraints/parameters	5303/0/425	7344/0/523
Goodness-of-fit on F^2	1.124	1.040
Final R indexes [I>=2σ (I)]	R1 = 0.0237, wR2 = 0.0547	R1 = 0.0324, wR2 = 0.0833
Final R indexes [all data]	R1 = 0.0255, wR2 = 0.0555	R1 = 0.0357, wR2 = 0.0855

$^aR = \Sigma I|F_0|-|F_C|I/\Sigma|F_0|$; $^bwR_2 = [\Sigma[w(F_0^2-F_C^2)^2]/\Sigma[(F_0^2)^2]]^{1/2}$

3. Characterization data of the products 4 and 5

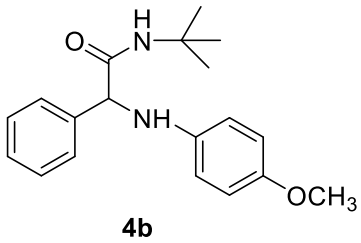
2-(4-bromophenyl)-N-(tert-butyl)-2-((4-methoxyphenyl) amino) acetamide



4a

White solid, 340 mg, 87% yield, mp 118-119 ^{o}C, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.50 (d, *J* = 8.4 Hz, 2H), 7.29 (d, *J* = 8.4 Hz, 2H), 6.77 (d, *J* = 8.9 Hz, 2H), 6.61 – 6.56 (m, 3H), 4.49 (s, 1H), 4.17 (s, 1H), 3.74 (s, 3H), 1.31 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 169.89, 153.27, 140.51, 138.36, 132.29, 128.86, 122.28, 115.12, 114.78, 65.04, 55.65, 51.17, 28.51. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{23}BrN_2O_2$ 391.1021; found 391.1017.

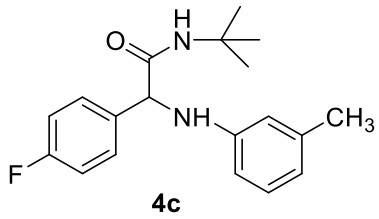
N-(tert-butyl)-2-((4-methoxyphenyl) amino)-2-phenylacetamide



4b

White solid, 268 mg, 86% yield, mp 116-117 ^{o}C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.44 – 7.30 (m, 5H), 6.81 – 6.75 (m, 2H), 6.72 (s, 1H), 6.62 – 6.57 (m, 2H), 4.52 (s, 1H), 4.18 (s, 1H), 3.75 (s, 3H), 1.32 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.47, 153.12, 140.86, 139.34, 129.12, 128.37, 127.29, 115.02, 114.73, 65.80, 55.65, 51.02, 28.52. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{23}N_2O$ 313.1916; found 313.1956.

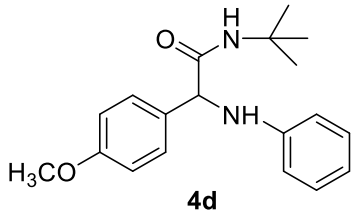
N-(tert-butyl)-2-(4-fluorophenyl)-2-(m-tolylamino) acetamide



4c

White solid, 270 mg, 89% yield, mp 128-129 ^{o}C, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.39 (dd, *J* = 8.6, 5.3 Hz, 2H), 7.10 – 7.04 (m, 3H), 6.64 (d, *J* = 7.5 Hz, 1H), 6.59 (s, 1H), 6.46 – 6.42 (m, 2H), 4.57 (d, *J* = 2.1 Hz, 1H), 4.32 (s, 1H), 2.27 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 170.14, 163.85, 161.39, 146.59, 139.19, 135.14, 135.11, 129.15, 129.05, 128.97, 120.20, 116.17, 115.96, 114.66, 111.06, 64.25, 51.17, 28.50, 21.52. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{23}FN_2O$ 315.1873; found 315.1876.

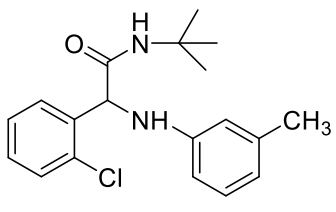
N-(tert-butyl)-2-(4-methoxyphenyl)-2-(phenylamino) acetamide



4d

White solid, 281 mg, 90% yield, mp 139-140 ^{o}C, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.33 (d, *J* = 8.5 Hz, 2H), 7.18 (t, *J* = 7.8 Hz, 2H), 6.90 (d, *J* = 8.5 Hz, 2H), 6.79 (t, *J* = 7.3 Hz, 1H), 6.62 (d, *J* = 7.9 Hz, 2H), 6.52 (s, 1H), 4.54 (s, 1H), 4.44 (s, 1H), 3.80 (s, 3H), 1.31 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 170.49, 159.58, 146.82, 131.36, 129.20, 128.45, 118.93, 114.52, 113.84, 77.32, 77.00, 76.68, 64.20, 55.28, 51.08, 28.52. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{24}N_2O_2$ 313.1916; found 313.1918.

N-(tert-butyl)-2-(2-chlorophenyl)-2-(m-tolylamino) acetamide

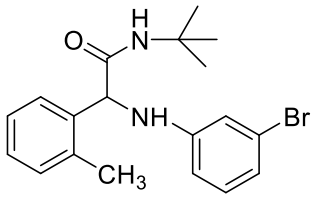


4e

White solid, 274 mg, 83% yield, mp 139-140 ^{o}C, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.47 – 7.40 (m, 2H), 7.25 – 7.23 (m, 2H), 7.02 (t, *J* = 7.7 Hz, 1H), 6.55 (d, *J* = 7.4 Hz, 1H), 6.41 (s, 1H), 6.35-6.33 (m, 2H), 5.18 (d, *J* = 4.3 Hz, 1H), 4.86 (d, *J* = 3.8 Hz, 1H), 2.24 (s, 3H), 1.32 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 169.21, 146.21, 139.02, 137.21, 133.17, 129.78, 129.28, 129.09, 128.49,

127.78, 119.40, 114.39, 110.51, 59.48, 51.51, 28.55, 21.53. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{23}ClN_2O$ 331.1577; found 331.1575.

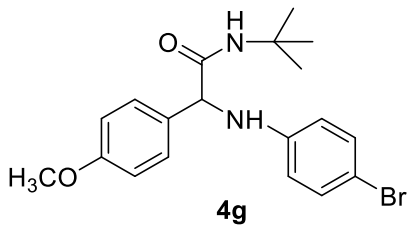
2-((3-bromophenyl) amino)-N-(tert-butyl)-2-(o-tolyl) acetamide



4f

White solid, 318 mg, 85% yield, mp 142-143 ºC, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.33 – 7.31 (m, 1H), 7.24 – 7.22 (m, 3H), 7.03 (t, *J* = 8.0 Hz, 1H), 6.91 (d, *J* = 7.9 Hz, 1H), 6.78 (s, 1H), 6.54 (d, *J* = 10.0 Hz, 1H), 6.40 (s, 1H), 4.79 (d, *J* = 2.7 Hz, 1H), 4.42 (s, 1H), 2.38 (s, 3H), 1.34 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 170.00, 148.30, 137.02, 136.87, 131.33, 130.59, 128.51, 126.80, 123.20, 121.86, 116.45, 112.14, 61.35, 51.35, 28.55, 19.50. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{23}BrN_2O$ 375.1072; found 375.1074.

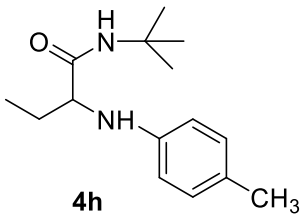
2-((4-bromophenyl) amino)-N-(tert-butyl)-2-(4-methoxyphenyl) acetamide



4g

White solid, 343 mg, 88% yield, mp 130-131 ºC, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.32 (d, *J* = 8.7 Hz, 2H), 7.00 (t, *J* = 8.0 Hz, 1H), 6.92-6.86 (m, 3H), 6.74 (t, *J* = 2.0 Hz, 1H), 6.51 (d, *J* = 8.2 Hz, 1H), 6.19 (s, 1H), 4.73 (d, *J* = 2.2 Hz, 1H), 4.53 (d, *J* = 2.6 Hz, 1H), 3.80 (s, 3H), 1.31 (s, 9H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 169.85, 159.73, 147.92, 130.80, 130.46, 128.36, 123.09, 121.51, 116.53, 114.63, 112.34, 63.30, 55.41, 51.33, 28.63. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{23}BrN_2O_2$ 391.1021; found 391.1025.

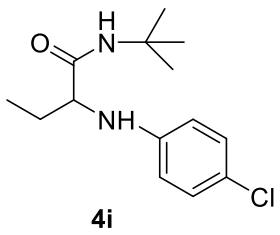
N-(tert-butyl)-2-(p-tolylamino) butanamide



4h

Yellow oil, 213 mg, 89% yield, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 6.98 (d, *J* = 8.1 Hz, 2H), 6.63 (s, 1H), 6.51 (d, *J* = 8.4 Hz, 2H), 3.72 (s, 1H), 3.41 (dd, *J* = 7.6, 4.6 Hz, 1H), 2.23 (s, 3H), 2.00 – 1.87 (m, 1H), 1.77 – 1.70 (m, 1H), 1.35 (s, 1H), 1.28 (s, 9H), 1.00 (t, *J* = 7.5 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 172.59, 144.83, 129.78, 128.28, 113.75, 62.03, 50.62, 28.55, 26.65, 20.30, 10.27. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{15}H_{24}N_2O$ 249.1967; found 249.1965.

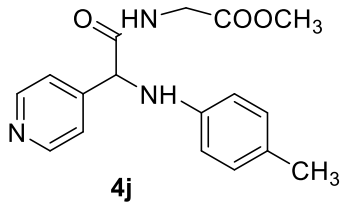
N-(tert-butyl)-2-((4-chlorophenyl) amino) butanamide



4i

White solid, 204 mg, 79% yield, mp 123-124 °C, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.14 (d, *J* = 8.8 Hz, 2H), 6.53 (d, *J* = 8.8 Hz, 2H), 6.43 (s, 1H), 3.95 (s, 1H), 3.47 – 3.43 (m, 1H), 2.00 – 1.89 (m, 1H), 1.81-1.75 (m, 1H), 1.30 (s, 9H), 1.02 (t, *J* = 7.5 Hz, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 171.96, 145.63, 129.20, 123.70, 114.87, 61.56, 50.96, 28.64, 26.51, 10.07. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{14}H_{21}ClN_2O$ 269.1421; found 269.1425.

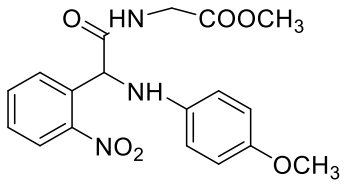
methyl (2-(pyridin-4-yl)-2-(p-tolylamino) acetyl) glycinate



4j

Yellow oil, 229 mg, 73% yield, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 9.04 – 8.30 (m, 3H), 7.95 – 7.72 (m, 2H), 7.11 (d, *J* = 8.0 Hz, 2H), 6.88 (d, *J* = 7.6 Hz, 1H), 6.75 (d, *J* = 8.0 Hz, 1H), 6.29 (d, *J* = 6.8 Hz, 1H), 5.13 (s, 1H), 3.79 (t, *J* = 8.8 Hz, 2H), 2.37 (s, 3H), 2.16 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 150.67, 150.24, 136.44, 129.40, 128.96, 124.92, 123.50, 121.77, 121.08, 52.12, 42.74, 21.08, 20.69. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{17}H_{19}N_3O_3$ 314.1505; found 314.1495.

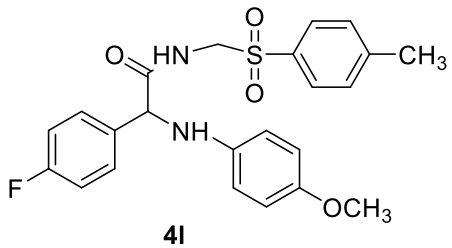
methyl (2-(2-nitrophenyl)-2-(p-tolylamino) acetyl) glycinate



4k

Yellow oil, 328 mg, 88% yield, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.99 (d, *J* = 8.1 Hz, 1H), 7.63 – 7.56 (m, 2H), 7.49 – 7.43 (m, 2H), 6.72 (d, *J* = 8.9 Hz, 2H), 6.52 (d, *J* = 8.9 Hz, 2H), 5.52 (s, 1H), 4.96 (s, 1H), 4.14 – 4.00 (m, 2H), 3.73 (s, 3H), 3.70 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 170.00, 169.76, 153.11, 148.89, 139.28, 134.26, 134.04, 130.44, 129.07, 125.09, 115.36, 114.90, 59.21, 55.59, 52.40, 41.37. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{19}N_3O_6$ 374.1352; found 374.1353.

2-(4-fluorophenyl)-2-((4-methoxyphenyl) amino)-N-(tosylmethyl) acetamide

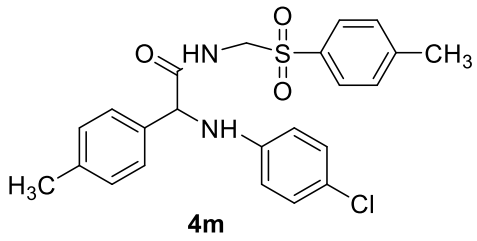


4l

Yellow oil, 323 mg, 73% yield, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.55 (d, *J* = 7.2 Hz, 2H), 7.30 (d, *J* = 5.6 Hz, 2H), 7.17 (d, *J* = 7.6 Hz, 2H), 7.03 (d, *J* = 8.4 Hz, 2H), 6.78 (d, *J* = 7.6 Hz, 2H), 6.53 (d, *J* = 7.6 Hz, 2H), 4.86 – 4.76 (m, 1H), 4.63 (s, 1H), 4.57 – 4.49 (m, 1H), 4.13 (d, *J* = 7.2 Hz, 2H), 3.75 (s, 3H), 2.40 (s, 3H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 171.25, 163.99, 161.53, 153.66, 145.26, 139.85, 133.87, 129.79,

129.04, 128.96, 128.51, 115.93, 115.26, 114.97, 63.91, 59.95, 55.67, 21.63. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{23}H_{23}FN_2O_4S$ 443.1441; found 443.1445.

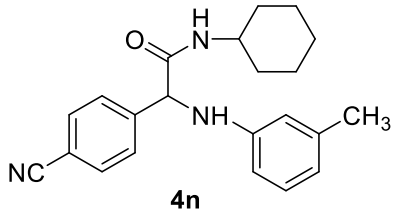
2-((4-chlorophenyl) amino)-2-(p-tolyl)-N-(tosylmethyl) acetamide



4m

White solid, 314 mg, 71% yield, mp 198-199 ºC, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.52 (d, *J* = 8.1 Hz, 2H), 7.36 (t, *J* = 6.5 Hz, 1H), 7.22 – 7.15 (m, 6H), 7.09 (d, *J* = 8.6 Hz, 2H), 6.45 (d, *J* = 8.6 Hz, 2H), 4.73 – 4.57 (m, 4H), 2.38 (d, *J* = 12.9 Hz, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 170.92, 145.28, 144.63, 138.82, 134.36, 133.67, 129.98, 129.83, 129.19, 128.54, 127.05, 124.00, 114.94, 63.22, 60.05, 21.69, 21.15. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{23}H_{23}ClN_2O_3S$ 443.1196; found 443.1195.

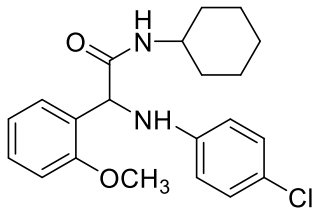
2-(4-cyanophenyl)-N-cyclohexyl-2-(m-tolylamino) acetamide



4n

Yellow solid, 319 mg, 92% yield, mp 146-147 ºC, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.66 (d, *J* = 7.9 Hz, 2H), 7.55 (d, *J* = 6.7 Hz, 2H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.66-6.42 (m, 4H), 4.76 (s, 1H), 4.42 (s, 1H), 3.78 (s, 1H), 2.27 (s, 3H), 1.87-1.80 (m, 4H), 1.34 – 1.03 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 168.85, 146.05, 144.30, 139.41, 132.88, 129.26, 128.11, 120.60, 118.37, 114.66, 112.41, 110.99, 63.86, 48.44, 32.91, 25.41, 24.75, 21.51. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{22}H_{25}N_3O$ 348.2076; found 348.2079.

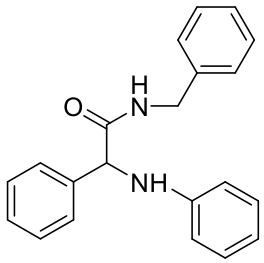
2-((4-chlorophenyl) amino)-N-cyclohexyl-2-(2-methoxyphenyl) acetamide



4o

White oil, 346 mg, 93% yield, mp 196-197 ºC, ^{1}H NMR (400 MHz, $CDCl_3$) δ (ppm) 7.35 (d, *J* = 7.6 Hz, 1H), 7.26 (t, *J* = 7.8 Hz, 1H), 7.02 (d, *J* = 8.7 Hz, 2H), 7.00 – 6.91 (m, 2H), 6.57 (d, *J* = 7.7 Hz, 1H), 6.40 (d, *J* = 8.8 Hz, 2H), 5.20 (s, 1H), 3.97 (s, 3H), 1.92 (d, *J* = 8.8 Hz, 1H), 1.67 – 1.52 (m, 4H), 1.39 – 1.00 (m, 6H); ^{13}C NMR (100 MHz, $CDCl_3$) δ (ppm) 169.54, 156.24, 144.90, 129.06, 128.91, 127.38, 127.03, 122.10, 121.71, 114.31, 110.80, 55.57, 55.46, 47.91, 32.44, 25.43, 24.27. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{21}H_{25}ClN_2O_2$ 373.1683; found 373.1682.

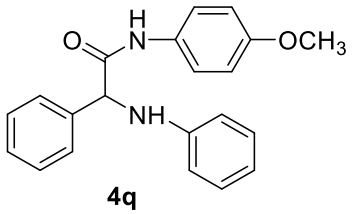
N-benzyl-2-phenyl-2-(phenylamino) acetamide



4p

White solid, 164 mg, 52% yield, mp 146-147 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.44 (d, *J* = 6.6 Hz, 1H), 7.41 – 7.32 (m, 2H), 7.29 – 7.22 (m, 2H), 7.18 (t, *J* = 7.8 Hz, 1H), 7.15 – 7.09 (m, 1H), 7.02 (s, 1H), 6.80 (t, *J* = 7.3 Hz, 1H), 6.63 (d, *J* = 7.9 Hz, 1H), 4.80 (d, *J* = 2.0 Hz, 1H), 4.54 (dd, *J* = 14.7, 6.4 Hz, 1H), 4.38 (dd, *J* = 14.9, 5.6 Hz, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 171.15, 146.52, 138.76, 137.90, 129.31, 129.24, 128.60, 127.51, 127.43, 127.34, 119.17, 113.86, 64.21, 43.41. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{21}H_{21}N_2O$ 317.1660; found 317.1654.

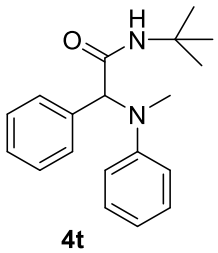
N-(4-methoxyphenyl)-2-phenyl-2-(phenylamino) acetamide



4q

White solid, 146 mg, 44% yield, mp 150-151 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 8.61 (s, 1H), 7.49 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.43 – 7.35 (m, 3H), 7.22 (dd, *J* = 8.4, 7.5 Hz, 1H), 6.88 – 6.81 (m, 2H), 6.71 (d, *J* = 7.7 Hz, 1H), 4.82 (s, 1H), 4.48 (s, 1H), 3.77 (s, 2H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.23, 156.61, 146.53, 138.54, 130.38, 129.48, 129.33, 128.77, 127.42, 121.68, 119.75, 114.11, 65.27, 55.47. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{21}H_{21}N_2O_2$ 333.1608; found 333.1603.

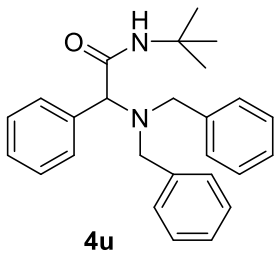
N-(tert-butyl)-2-(methyl(phenyl) amino)-2-phenylacetamide



4t

White solid, 193 mg, 65% yield, mp 165-166 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.34 – 7.27 (m, 3H), 7.23 (d, *J* = 7.2 Hz, 1H), 6.90 – 6.87 (m, 2H), 6.50 (s, 1H), 5.21 (s, 1H), 2.64 (s, 2H), 1.37 (s, 5H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.99, 150.26, 136.03, 129.25, 129.10, 128.42, 127.80, 119.22, 115.08, 70.41, 51.20, 35.64, 28.69. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{25}N_2O$ 297.1962; found 297.1967.

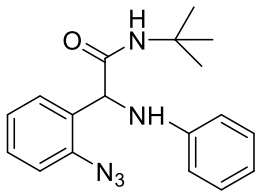
N-(tert-butyl)-2-(dibenzylamino)-2-phenylacetamide



4u

White solid, 232 mg, 60% yield, mp 103-104 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.42 – 7.33 (m, 5H), 7.32 – 7.25 (m, 3H), 7.13 (s, 1H), 4.30 (s, 1H), 3.83 (d, *J* = 13.9 Hz, 1H), 3.34 (d, *J* = 13.9 Hz, 1H), 1.40 (s, 5H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.66, 138.77, 134.48, 130.33, 128.60, 128.54, 128.10, 127.68, 127.29, 68.10, 54.54, 50.97, 28.81. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{26}H_{31}N_2O$ 387.2440; found 387.2436.

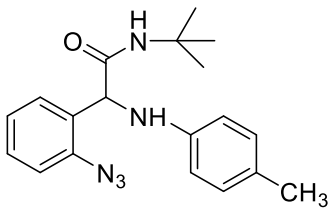
2-(2-azidophenyl)-N-(tert-butyl)-2-(phenylamino) acetamide



5a

White solid, 259 mg, 80% yield, mp 137-138 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.42 (d, *J* = 8.8 Hz, 1H), 7.35 (t, *J* = 8.8 Hz, 1H), 7.21 (d, *J* = 6.8 Hz, 1H), 7.16 – 7.08 (m, 3H), 6.72 (t, *J* = 7.6 Hz, 1H), 6.52 (d, *J* = 8.8 Hz, 2H), 6.48 (s, 1H), 5.04 (d, *J* = 2.8 Hz, 1H,), 4.94 (s, 1H), 1.31 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.53, 146.11, 137.24, 130.66, 129.27, 129.20, 128.29, 125.68, 118.19, 113.42, 64.04, 57.04, 51.18, 28.58. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{21}N_5O$ 324.1824; found 324.1824.

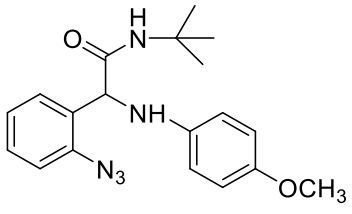
2-(2-azidophenyl)-N-(tert-butyl)-2-(p-tolylamino) acetamide



5b

White solid, 300 mg, 89% yield, mp 152-153 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.40 (d, *J* = 7.6 Hz, 1H), 7.33 (t, *J* = 7.2 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.11 (t, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 8.0 Hz, 2H), 6.57 (s, 1H), 6.46 (d, *J* = 8.4 Hz, 2H), 6.46 (d, *J* = 4.0 Hz, 1H), 4.68 (s, 1H), 2.21 (s, 3H), 1.32 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.64, 144.00, 137.39, 130.85, 129.71, 129.24, 128.46, 127.58, 125.60, 118.23, 113.60, 57.91, 51.43, 28.60, 20.43. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{23}N_5O$ 338.1981; found 338.1978.

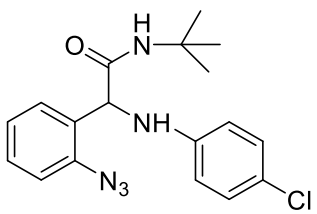
2-(2-azidophenyl)-N-(tert-butyl)-2-((4-methoxyphenyl) amino) acetamide



5c

White solid, 300 mg, 85% yield, mp 136-137 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.40 (d, *J* = 8.0 Hz, 1H), 7.34 (t, *J* = 8.0 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.12 (t, *J* = 8.4 Hz, 1H), 6.75 (d, *J* = 8.8 Hz, 2H), 6.62 (s, 1H), 6.52 (d, *J* = 8.8 Hz, 2H), 4.95 (s, 1H), 4.55 (s, 1H), 3.72 (s, 3H), 1.33 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.78, 152.66, 140.41, 137.48, 130.75, 129.26, 128.39, 125.55, 118.23, 114.65, 99.84, 58.66, 55.65, 51.22, 28.81. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{23}N_5O_2$ 354.1930; found 354.1929.

2-(2-azidophenyl)-N-(tert-butyl)-2-((4-chlorophenyl) amino) acetamide

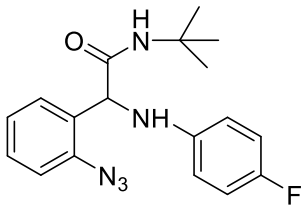


5d

White solid, 296 mg, 83% yield, mp 163-164 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.39 (d, *J* = 8.0 Hz, 1H), 7.34 (t, *J* = 8.4 Hz, 1H), 7.22 (d, *J* = 7.2 Hz, 1H), 7.11 (t, *J* = 7.6 Hz, 1H), 7.05 (d, *J* = 8.8 Hz, 2H), 6.41 (d, *J* = 8.8 Hz, 2H), 6.33 (s, 1H), 5.09 (s, 1H), 5.01 (s, 1H), 1.30 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 168.95, 144.54, 137.17, 130.27, 129.38, 129.03, 128.02, 125.7, 122.60, 118.16, 114.49,

56.82, 51.60, 28.58. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{20}ClN_5O$ 358.1435; found 358.1439.

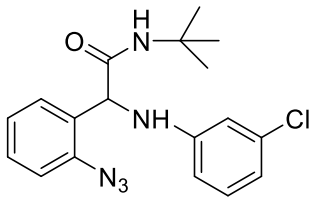
2-(2-azidophenyl)-N-(tert-butyl)-2-((4-fluorophenyl) amino) acetamide



5e

White solid, 280 mg, 82% yield, mp 143-144 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.39 (d, *J* = 8.0 Hz, 1H), 7.34 (d, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.11 (t, *J* = 8.0 Hz, 1H), 6.83 (d, *J* = 8.8 Hz, 2H), 6.47 – 6.39 (m, 3H), 6.27 (d, *J* = 4.8 Hz, 1H), 4.85 (s, 1H), 1.30 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.28, 157.35, 142.46, 137.22, 130.36, 129.35, 128.20, 125.68, 118.11, 115.78, 114.26, 57.64, 51.36, 28.58. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{20}FN_5O$ 342.1730; found 342.1725.

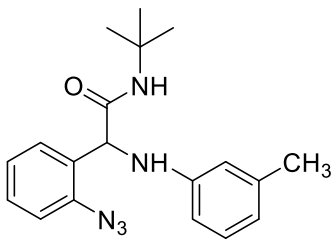
2-(2-azidophenyl)-N-(tert-butyl)-2-((3-chlorophenyl) amino) acetamide



5f

White solid, 282 mg, 79% yield, mp 144-145 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.42 – 7.32 (m, 2H), 7.22 (d, *J* = 8.8 Hz, 1H), 7.21 (t, *J* = 7.6 Hz, 1H), 7.04 – 6.97 (m, 1H), 6.64 (d, *J* = 7.6 Hz, 1H), 6.47 (d, *J* = 2.0 Hz, 1H), 6.35 (d, *J* = 7.6 Hz, 1H), 6.29 (s, 1H), 5.20 (s, 1H), 5.03 (s, 1H), 1.30 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 168.84, 147.09, 137.06, 134.81, 130.15, 129.42, 128.01, 125.73, 118.18, 117.87, 113.17, 111.50, 56.35, 51.43, 28.57. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{20}ClN_5O$ 358.1435; found 358.1434.

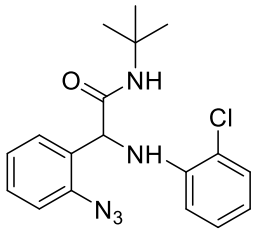
2-(2-azidophenyl)-N-(tert-butyl)-2-(m-tolylamino) acetamide



5g

Yellow solid, 273 mg, 81% yield, mp 150-151 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.41 (d, *J* = 7.7 Hz, 1H), 7.36 – 7.31 (m, 1H), 7.21 (d, *J* = 7.3 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.02 (t, *J* = 7.8 Hz, 1H), 6.55 (d, *J* = 8.0 Hz, 2H), 6.40 (s, 1H), 6.32 (d, *J* = 8.0 Hz, 1H), 5.01 (d, *J* = 2.9 Hz, 1H), 4.77 (s, 1H), 2.24 (s, 3H), 1.32 (s, 9H);^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.62, 146.27, 139.02, 137.35, 130.77, 129.27, 129.08, 128.38, 125.64, 119.33, 118.24, 114.43, 110.49, 57.67, 51.27, 28.59, 21.55. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{24}N_5O$ 338.1985; found 338.1981.

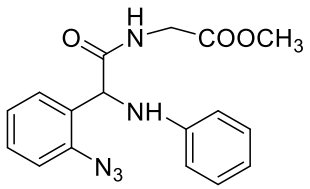
2-(2-azidophenyl)-N-(tert-butyl)-2-((2-chlorophenyl) amino) acetamide



5h

Yellow solid, 275 mg, 77% yield, mp 109-110 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.41 (d, *J* = 7.7 Hz, 1H), 7.36 – 7.33 (m, 1H), 7.26 – 7.22 (m, 2H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.01 (t, *J* = 7.4 Hz, 1H), 6.62 (t, *J* = 7.2 Hz, 1H), 6.42 – 6.23 (m, 2H), 5.76 (d, *J* = 4.2 Hz, 1H), 5.09 (d, *J* = 4.7 Hz, 1H), 1.31 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 168.80, 142.00, 137.20, 130.15, 129.40, 129.20, 128.04, 127.65, 125.83, 119.82, 118.15, 118.07, 112.14, 56.46, 51.47, 28.61. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{21}N_5OCl$ 358.1437; found 358.1435.

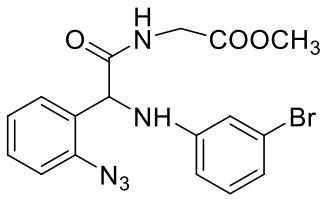
methyl (2-(2-azidophenyl)-2-(phenylamino) acetyl) glycinate



5i

Yellow solid, 231 mg, 68% yield, mp 125-126 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.48 (d, *J* = 8.0 Hz, 1H), 7.35 (t, *J* = 8.0 Hz, 1H), 7.22 (d, *J* = 8.4 Hz, 2H), 7.17 – 7.09 (m 3H), 6.73 (t, *J* = 7.2 Hz, 1H), 6.54 (d, *J* = 7.6 Hz, 2H), 5.25 (d, *J* = 4.0 Hz, 1H), 4.95 (s, 1H), 4.19 – 4.10 (m, 1H), 4.01 – 3.92 (m, 1H), 3.73 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.75, 169.89, 145.93, 137.53, 129.82, 129.53, 129.25, 128.38, 125.65, 118.40, 118.23, 113.47, 56.67, 52.39, 41.37. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{17}H_{17}N_5O_3$ 340.1410; found 340.1408.

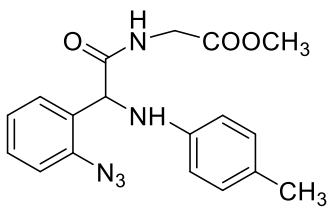
methyl (2-(2-azidophenyl)-2-((3-bromophenyl) amino) acetyl) glycinate



5j

Yellow solid, 254 mg, 61% yield, mp 140-141 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.43 (d, *J* = 7.6 Hz, 1H), 7.37 (d, *J* = 7.6 Hz, 1H), 7.24 (d, *J* = 8.0 Hz, 1H), 7.15 – 7.06 (m, 2H), 6.96 (t, *J* = 8.0 Hz, 1H), 6.81 (d, *J* = 8.0 Hz, 1H), 6.68 (d, *J* = 8.0 Hz, 1H), 6.41 (d, *J* = 8.0 Hz, 1H), 5.24 (d, *J* = 5.2 Hz, 1H), 5.17 (d, *J* = 4.8 Hz, 1H), 4.16 – 4.07 (m, 2H), 3.74 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.14, 169.79, 147.07, 137.48, 130.52, 129.72, 129.26, 128.14, 125.76, 123.16, 121.10, 118.27, 116.29, 111.93, 56.05, 52.31, 41.42. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{17}H_{16}BrN_5O_3$ 418.0515; found 418.0515.

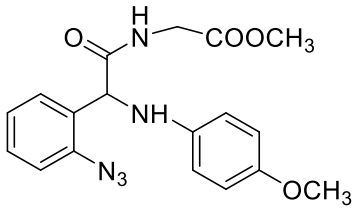
methyl (2-(2-azidophenyl)-2-(p-tolylamino) acetyl) glycinate



5k

Yellow solid, 290 mg, 82% yield, mp 157-158 ºC, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.46 (d, *J* = 8.0 Hz, 1H), 7.37 – 7.28 (m, 2H), 7.21 (d, *J* = 8.0 Hz, 1H), 7.12 (t, *J* = 7.6 Hz, 1H), 6.96 (d, *J* = 8.0 Hz, 2H), 7.48 (d, *J* = 8.4 Hz, 2H), 5.20 (s, 1H), 4.73 (s, 1H), 4.20 – 4.12 (m, 1H), 4.00 – 3.92 (m, 1H), 3.73 (s, 3H), 2.21 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 171.02, 169.91, 143.70, 137.60, 129.88, 129.75, 129.51, 128.45, 127.77, 125.59, 118.24, 113.59, 57.23, 52.35, 41.30, 20.36. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{19}N_5O_3$ 354.1566; found 354.1568.

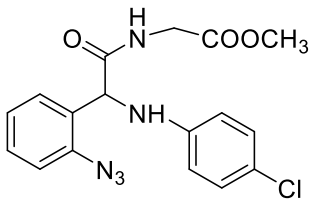
methyl (2-(2-azidophenyl)-2-((4-methoxyphenyl) amino) acetyl) glycinate



5l

Yellow solid, 295 mg, 80% yield, mp 142-143 ºC, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.46 (d, *J* = 7.6 Hz, 1H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.21 (d, *J* = 8.0 Hz, 1H), 7.12 (t, *J* = 7.2 Hz, 1H), 6.74 (d, *J* = 8.8 Hz, 2H), 6.53 (d, *J* = 8.8 Hz, 2H), 5.15 (s, 1H), 4.57 (s, 1H), 4.20 – 4.11 (m, 1H), 4.01 – 3.93 (m, 1H), 3.73 (s, 3H), 3.71 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 171.11, 169.94, 152.79, 140.21, 137.64, 129.95, 129.51, 128.53, 127.08, 125.55, 118.25, 114.82, 57.94, 55.64, 52.35, 41.28. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{19}N_5O_4$ 370.1515; found 370.1511.

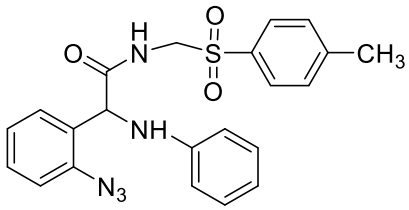
methyl (2-(2-azidophenyl)-2-((4-chlorophenyl) amino) acetyl) glycinate



5m

Yellow solid, 295 mg, 79% yield, mp 128-129 ºC, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.43 (d, *J* = 8.4 Hz, 1H), 7.36 (t, *J* = 8.0 Hz, 1H), 7.24 (t, *J* = 8.0 Hz, 1H), 7.11 (d, *J* = 7.2 Hz, 2H), 7.06 (d, *J* = 8.8 Hz, 2H), 6.43 (d, *J* = 8.8 Hz, 2H), 5.22 (s, 1H), 5.10 (s, 1H), 4.16 – 4.08 (m, 1H), 4.01 – 3.93 (m, 1H), 3.73 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.28, 169.83, 144.34, 137.62, 129.66, 129.33, 129.09, 128.11, 125.71, 122.91, 118.24, 114.50, 56.24, 52.45, 41.42. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{17}H_{16}ClN_5O_3$ 374.1020; found 374.1019.

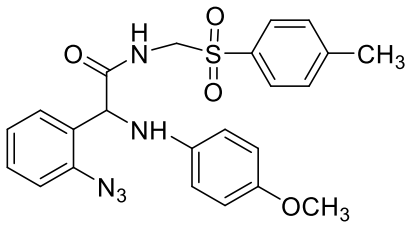
2-(2-azidophenyl)-2-(phenylamino)-N-(tosylmethyl) acetamide



5n

Yellow solid, 264 mg, 67% yield, mp 168-169 ºC, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.43 (d, *J* = 8.4 Hz, 4H), 7.28 (s, 1H), 7.12 (t, *J* = 8.0 Hz, 5H), 6.73 (t, *J* = 7.6 Hz, 1H), 6.44 (d, *J* = 8.0 Hz, 2H), 5.16 (d, *J* = 4.4 Hz, 1H), 4.85 – 4.75 (m, 2H), 4.59 – 4.52 (m, 1H), 4.12 (d, *J* = 6.4 Hz, 1H), 2.38 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.11, 145.47, 145.16, 137.54, 133.79, 129.84, 129.76, 129.30, 129.05, 128.42, 128.30, 125.64, 118.59, 118.25, 113.41, 60.07, 56.38, 21.67. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{22}H_{22}N_2O_3S$ 395.1429; found 395.1428.

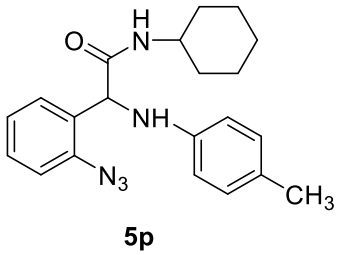
2-(2-azidophenyl)-2-((4-methoxyphenyl) amino)-N-(tosylmethyl) acetamide



5o

Yellow solid, 326 mg, 70% yield, mp 133-134 ºC, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.56 (t, *J* = 6.7 Hz, 1H), 7.47 (d, *J* = 8.3 Hz, 2H), 7.40 (td, *J* = 8.0, 1.5 Hz, 1H), 7.32 – 7.19 (m, 3H), 7.19 – 7.07 (m, 3H), 6.77 – 6.66 (m, 2H), 6.49 – 6.38 (m, 2H), 5.07 (s, 1H), 4.78 (dd, *J* = 14.2, 7.5 Hz, 1H), 4.59 (dd, *J* = 14.2, 6.1 Hz, 1H), 3.71 (s, 3H), 2.39 (s, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.43, 152.88, 145.17, 139.66, 137.59, 133.76, 129.83, 129.71, 129.17, 128.43, 128.41, 125.54, 118.27, 114.86, 114.76, 60.05, 57.58, 55.66, 21.69. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{23}H_{24}N_5O_4S$ 466.1549; found 466.1549.

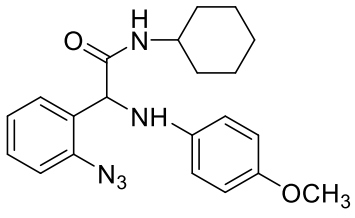
2-(2-azidophenyl)-N-cyclohexyl-2-(p-tolylamino) acetamide



5p

White solid, 282 mg, 80% yield, mp 152-153 ºC, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.40 (d, *J* = 7.6Hz, 1H), 7.33 (t, *J* = 8.0 Hz, 1H), 7.19 (d, *J* = 8.0 Hz, 1H), 7.10 (t, *J* = 7.2 Hz, 1H), 6.95 (d, *J* = 8.4 Hz, 2H), 6.60 (d, *J* = 8.0 Hz, 1H), 6.47 (d, *J* = 8.4 Hz, 2H), 5.05 (s, 1H), 3.77 (t, *J* = 4.0 Hz, 1H), 2.21 (s, 3H), 1.93 (d, *J* = 8.8 Hz, 2H), 1.79 – 1.65 (m, 2H), 1.63 – 1.53 (m, 2H), 1.48 – 0.95 (m, 6H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.52, 144.01, 137.49, 130.56, 129.71, 129.32, 128.42, 127.62, 125.58, 118.22, 113.58, 57.66, 48.13, 32.96, 25.41, 24.61, 20.35. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{22}H_{28}N_2O_2$ 353.2229; found 353.2230.

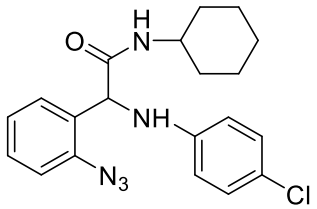
2-(2-azidophenyl)-N-cyclohexyl-2-((4-methoxyphenyl) amino) acetamide



5q

White solid, 320 mg, 87% yield, mp 157-158 °C, ^{1}H NMR ($CDCl_3$, 400 MHz,) δ (ppm) 7.39 (d, *J* = 7.6 Hz, 1H), 7.33 (t, *J* = 8.0 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.11 (t, *J* = 7.6 Hz, 1H), 6.74 (d, *J* = 8.8 Hz, 2H), 6.64 (d, *J* = 8.0 Hz, 1H), 6.52 (d, *J* = 8.8 Hz, 2H), 5.01 (s, 1H), 3.90 – 3.50 (m, 5H), 1.94 (d, *J* = 8.8 Hz, 1H), 1.80 – 1.55 (m, 4H), 1.40 – 1.06 (m, 5H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.60, 152.70, 140.38, 137.60, 130.55, 129.34, 128.46, 125.54, 118.24, 114.79, 99.96, 58.31, 55.64, 48.10, 32.61, 25.41, 24.51. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{22}H_{28}N_2O_3$ 369.2178; found 369.2179.

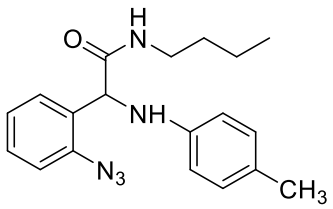
2-(2-azidophenyl)-2-((4-chlorophenyl) amino)-N-cyclohexylacetamide



5r

White solid, 299 mg, 78% yield, mp 178-179 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.39 (dd, *J* = 7.8, 1.4 Hz, 1H), 7.35 (td, *J* = 7.9, 1.5 Hz, 1H), 7.24 – 7.18 (m, 1H), 7.11 (td, *J* = 7.7, 0.9 Hz, 1H), 7.08 – 7.01 (m, 2H), 6.45 – 6.39 (m, 2H), 6.36 (d, *J* = 8.1 Hz, 1H), 5.07 (s, 2H), 3.80 – 3.69 (m, 1H), 1.94 (dd, *J* = 12.1, 3.4 Hz, 1H), 1.70 (dd, *J* = 8.0, 4.8 Hz, 2H), 1.58 (d, *J* = 12.5 Hz, 2H), 1.43 – 1.27 (m, 2H), 1.25 – 1.11 (m, 2H), 1.04 (td, *J* = 13.8, 3.3 Hz, 1H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 168.88, 144.53, 137.28, 130.01, 129.48, 129.06, 128.10, 125.77, 122.72, 118.18, 114.44, 56.50, 48.30, 32.90, 32.54, 25.39, 24.55, 24.44. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{20}H_{23}N_5OCl$ 384.1596; found 384.1591.

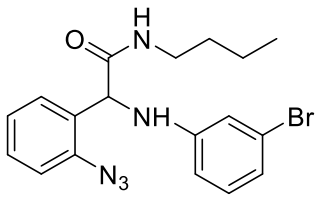
2-(2-azidophenyl)-N-butyl-2-(p-tolylamino) acetamide



5s

Yellow solid, 246 mg, 73% yield, mp 129-130 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.44 – 7.38 (m, 1H), 7.36 – 7.31 (m, 1H), 7.20 (d, *J* = 7.3 Hz, 1H), 7.11 (t, *J* = 7.5 Hz, 1H), 6.95 (d, *J* = 8.2 Hz, 2H), 6.64 (s, 1H), 6.46 (d, *J* = 8.4 Hz, 2H), 5.09 (s, 1H), 4.74 (s, 1H), 3.36 – 3.17 (m, 2H), 2.21 (s, 3H), 1.50 – 1.41 (m, 2H), 1.27 (dq, *J* = 14.5, 7.3 Hz, 2H), 0.88 (t, *J* = 7.3 Hz, 3H).; ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.47, 143.87, 137.43, 130.50, 129.74, 129.35, 128.46, 127.60, 125.63, 118.21, 113.54, 57.41, 39.34, 31.52, 20.36, 19.92, 13.65. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{24}N_5$ 338.1988; found 338.1981.

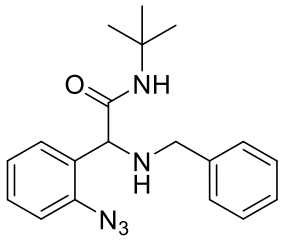
2-(2-azidophenyl)-2-((3-bromophenyl) amino)-N-butylacetamide



5t

Yellow solid, 301 mg, 75% yield, mp 113-114 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.44 – 7.31 (m, 2H), 7.23 (d, *J* = 7.3 Hz, 1H), 7.12 (t, *J* = 7.5 Hz, 1H), 6.95 (t, *J* = 8.0 Hz, 1H), 6.80 (d, *J* = 7.8 Hz, 1H), 6.66 (t, *J* = 2.0 Hz, 1H), 6.41 – 6.38 (m, 2H), 5.23 (d, *J* = 4.8 Hz, 1H), 5.12 (d, *J* = 4.9 Hz, 1H), 3.34 – 3.16 (m, 2H), 1.50 – 1.39 (m, 2H), 1.26 (dq, *J* = 20, 8.0 Hz, 2H), 0.88 (t, *J* = 7.3 Hz, 3H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 169.68, 147.20, 137.20, 130.48, 129.85, 129.55, 128.13, 125.82, 123.12, 120.85, 118.17, 116.14, 111.83, 55.91, 39.46, 31.45, 19.87, 13.62. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{18}H_{21}N_5OBr$ 402.0936; found 402.0929.

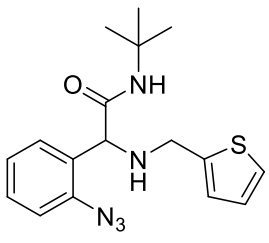
2-(2-azidophenyl)-2-(benzylamino)-N-(tert-butyl) acetamide



5u

White solid, 162 mg, 48% yield, mp 77-78 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.36 – 7.29 (m, 6H), 7.26 – 7.24 (m, 1H), 7.17 (dd, *J* = 7.9, 0.7 Hz, 1H), 7.11 (td, *J* = 7.5, 1.0 Hz, 1H), 4.28 (s, 1H), 3.74 (dd, *J* = 39.0, 12.9 Hz, 2H), 2.63 – 1.54 (m, 2H), 1.37 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.76, 139.38, 137.81, 131.10, 129.71, 129.05, 128.49, 128.24, 127.29, 125.01, 118.53, 62.64, 52.58, 50.74, 28.70. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{19}H_{24}N_5O$ 338.1987; found 338.1981.

2-(2-azidophenyl)-N-(tert-butyl)-2-((thiophen-2-ylmethyl) amino) acetamide

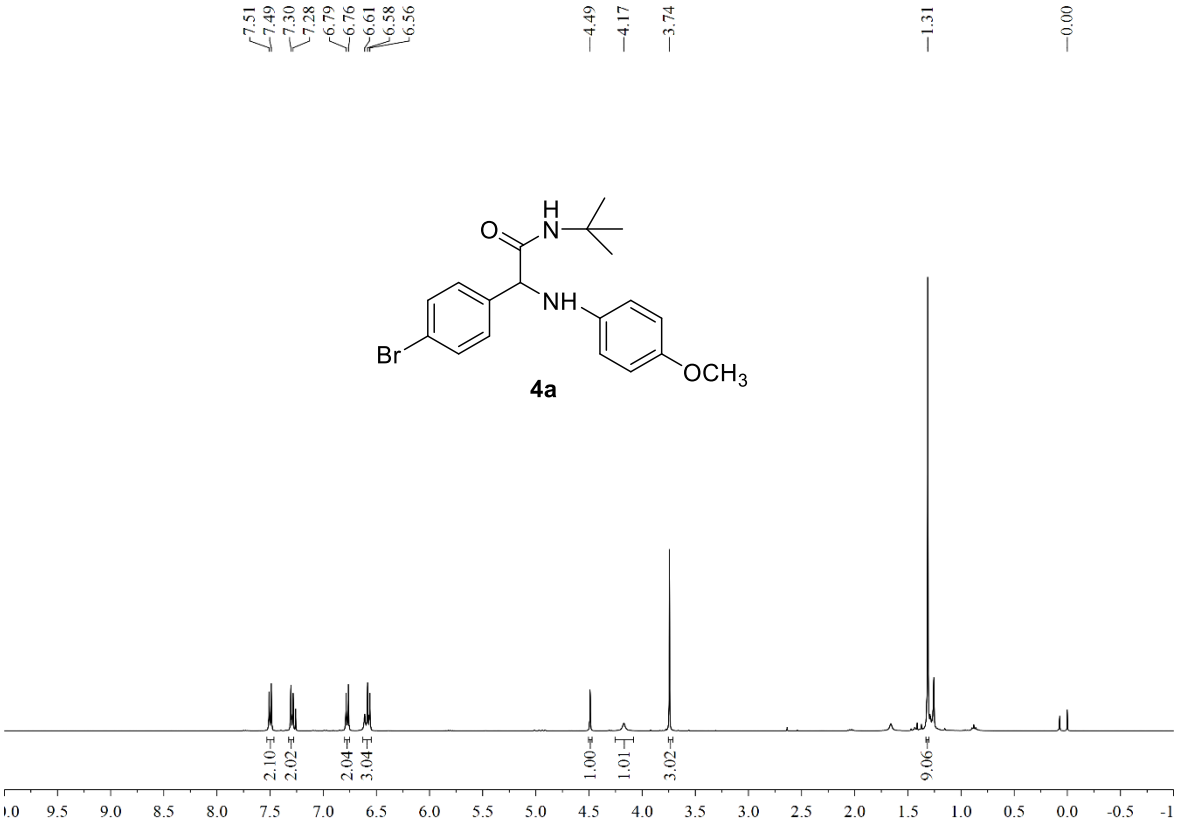


5v

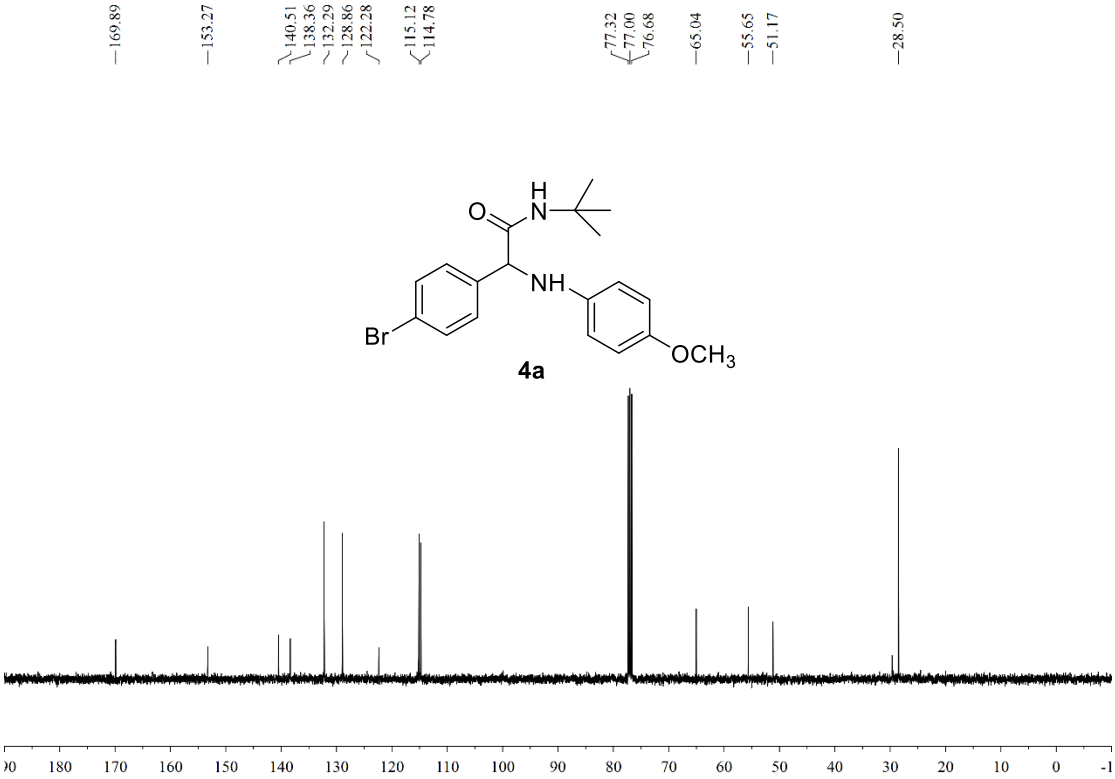
White solid, 189 mg, 55% yield, mp 111-112 °C, ^{1}H NMR ($CDCl_3$, 400 MHz) δ (ppm) 7.40 – 7.30 (m, 2H), 7.26 – 7.23 (m, 2H), 7.19 – 7.08 (m, 2H), 6.95 (dd, *J* = 5.0, 3.5 Hz, 1H), 6.91 (d, *J* = 2.7 Hz, 1H), 4.29 (s, 1H), 4.02 (d, *J* = 13.9 Hz, 1H), 3.85 (d, *J* = 13.9 Hz, 1H), 2.29 (s, 1H), 1.38 (s, 9H); ^{13}C NMR ($CDCl_3$, 100 MHz) δ (ppm) 170.53, 143.23, 137.82, 130.76, 129.96, 129.12, 126.68, 125.24, 124.98, 124.77, 118.57, 62.40, 50.77, 47.03, 28.68. HRMS (ESI-TOF) m/z $[M+H]^+$ calcd for $C_{17}H_{22}N_5OS$ 344.1554; found 344.1545.

4. Copies of ^{1}H and ^{13}C NMR spectra of compounds 4 and 5

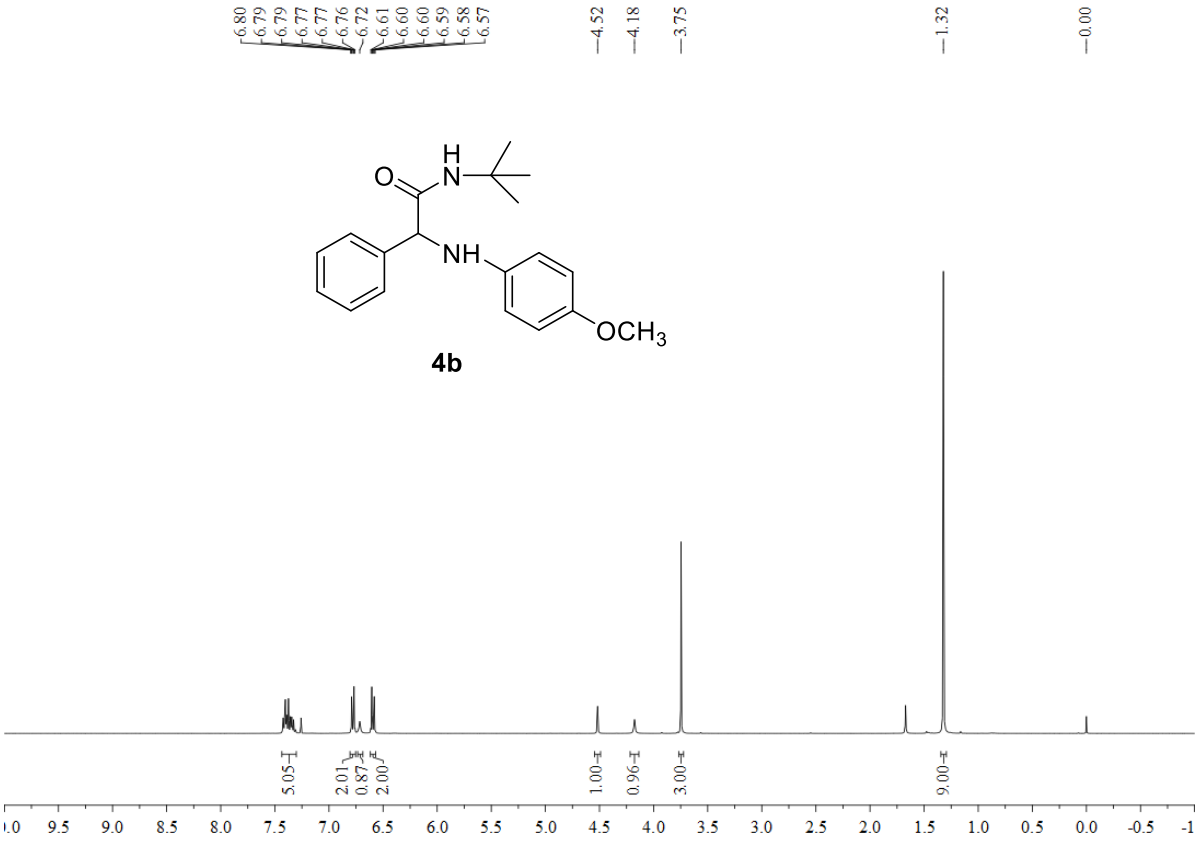
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4a.



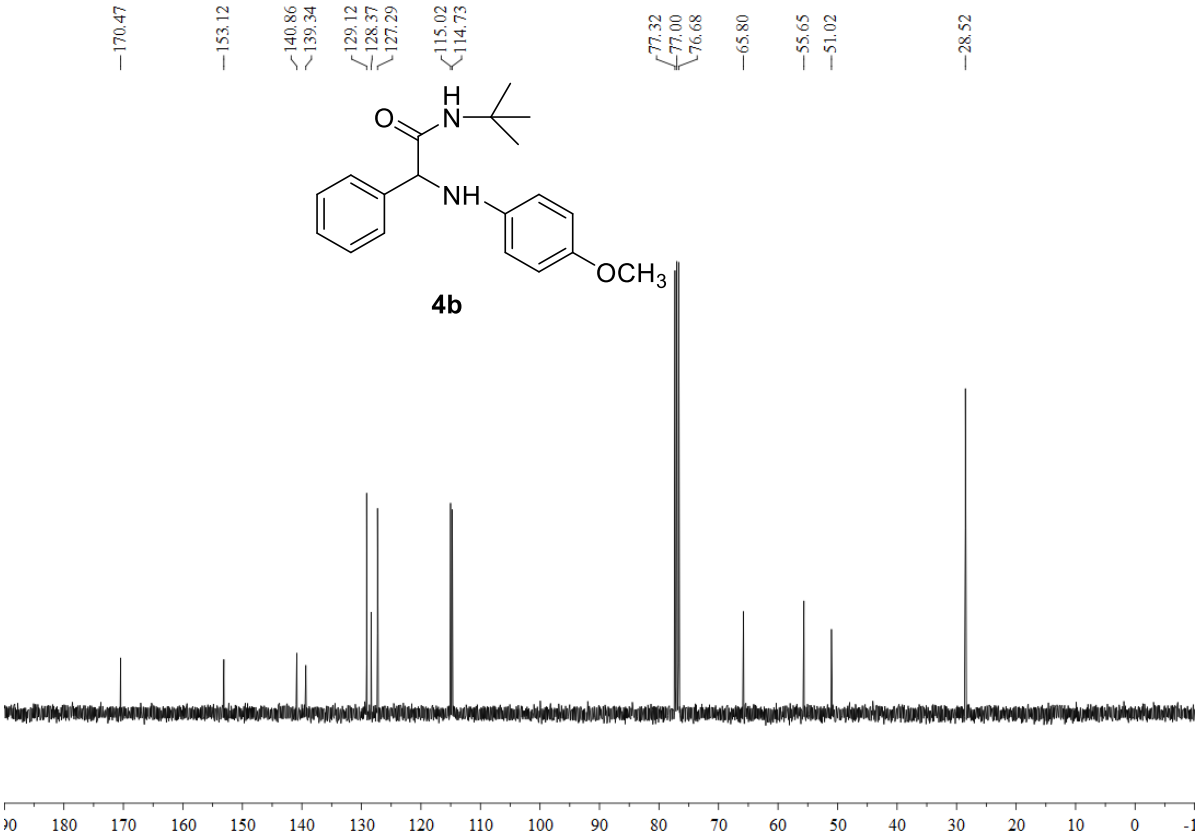
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4a.



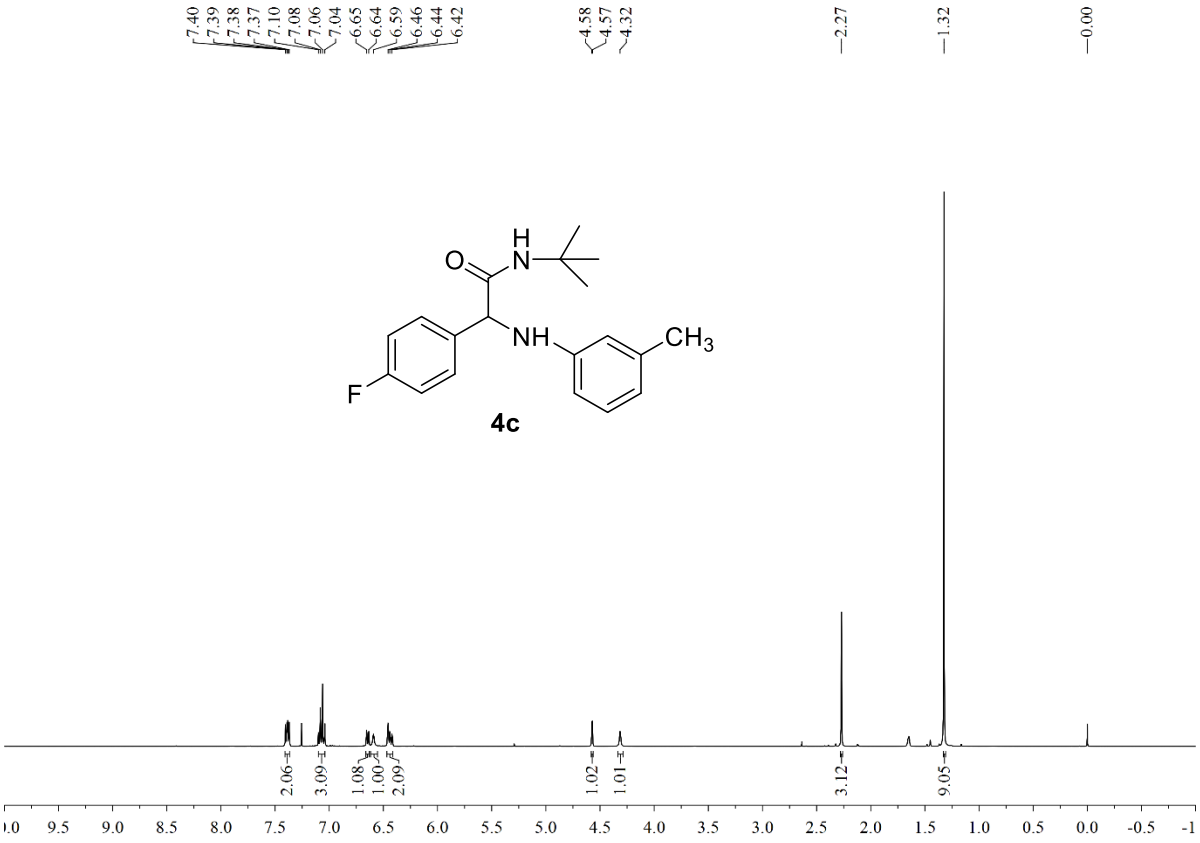
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4b.



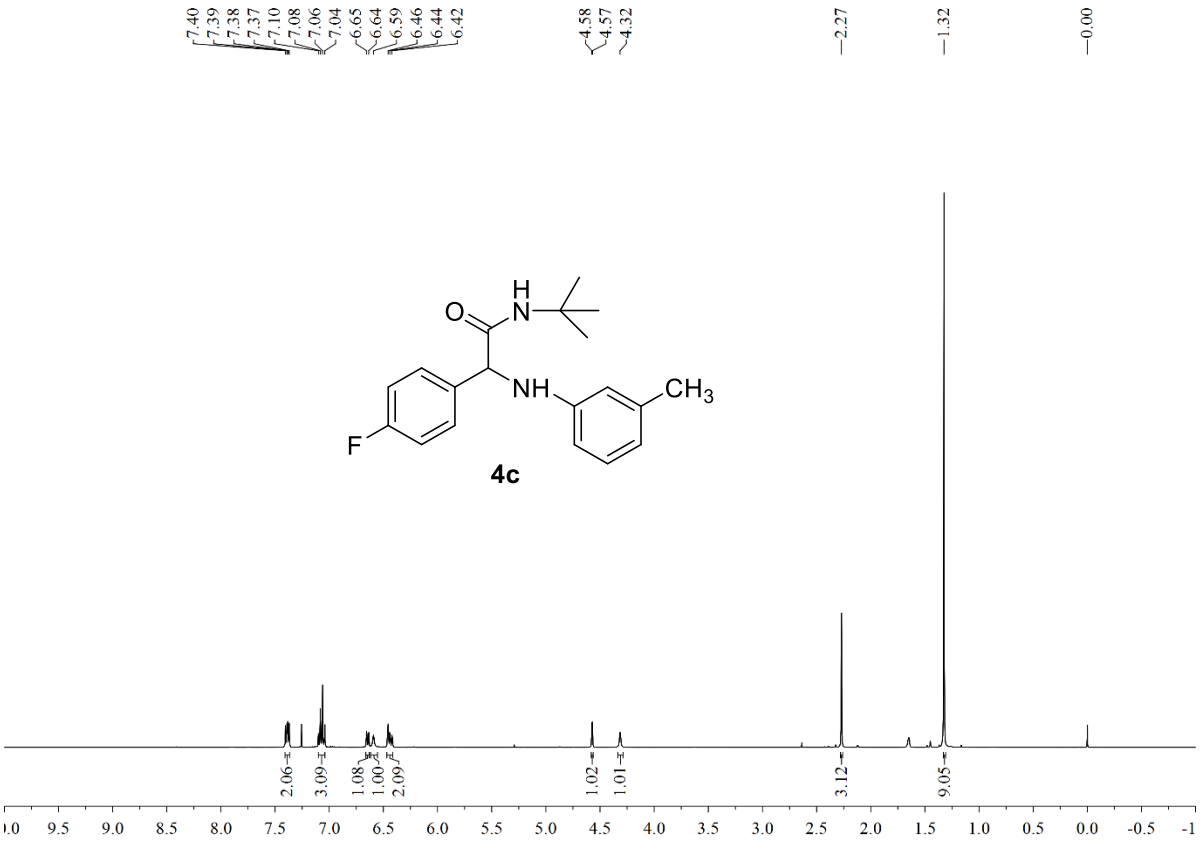
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4b.



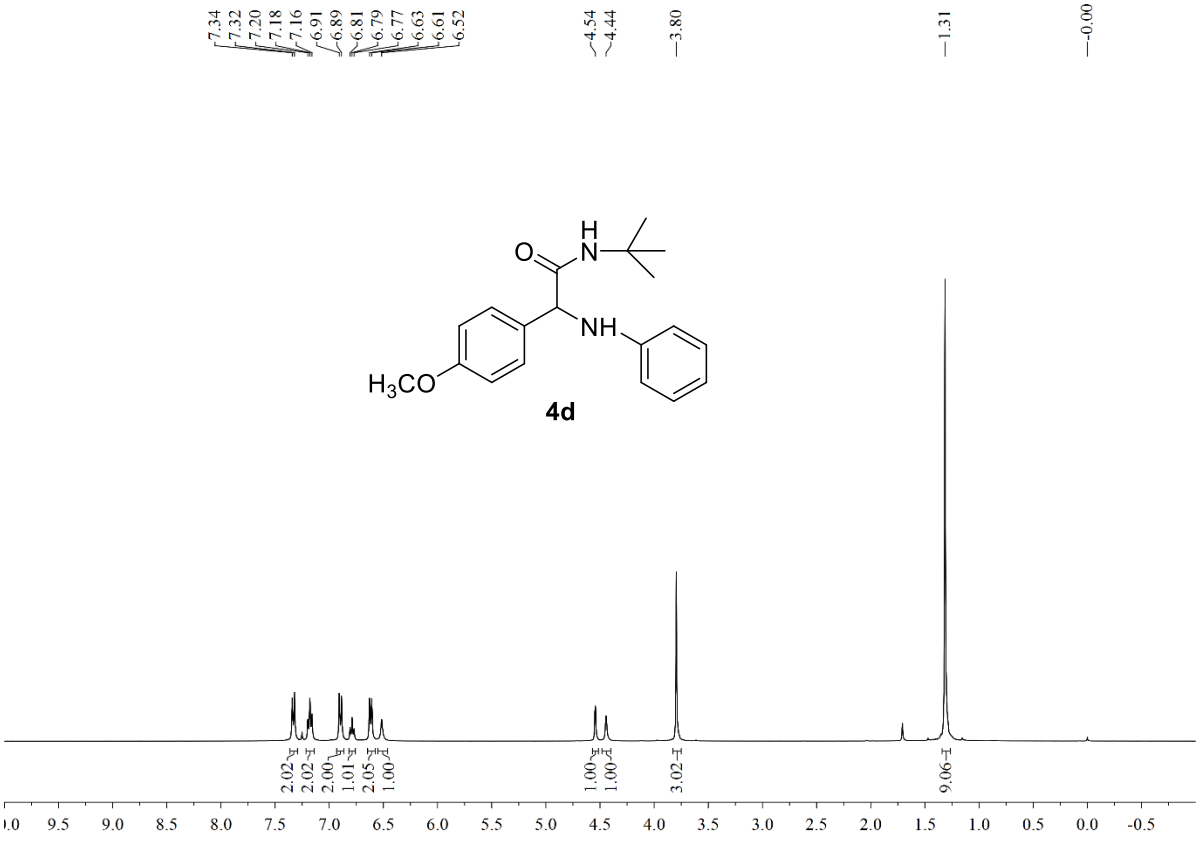
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4c.



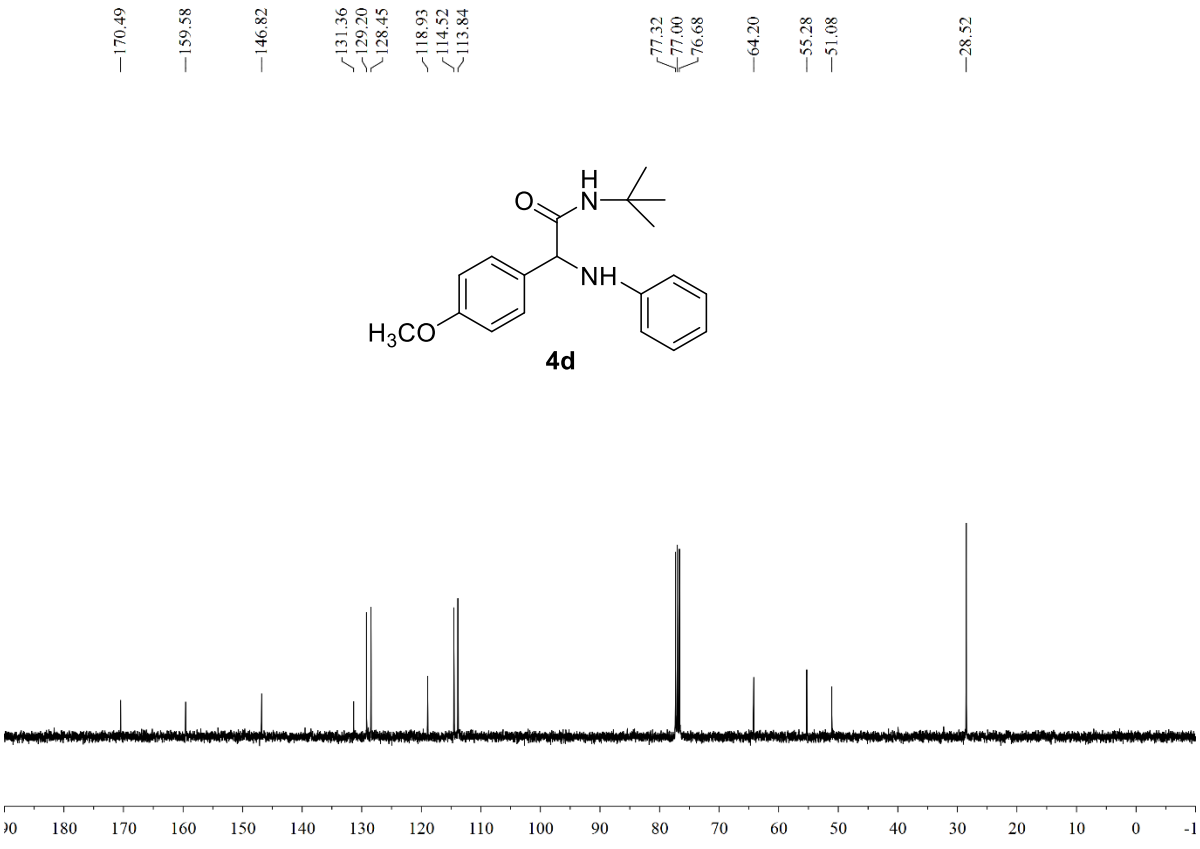
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4c.



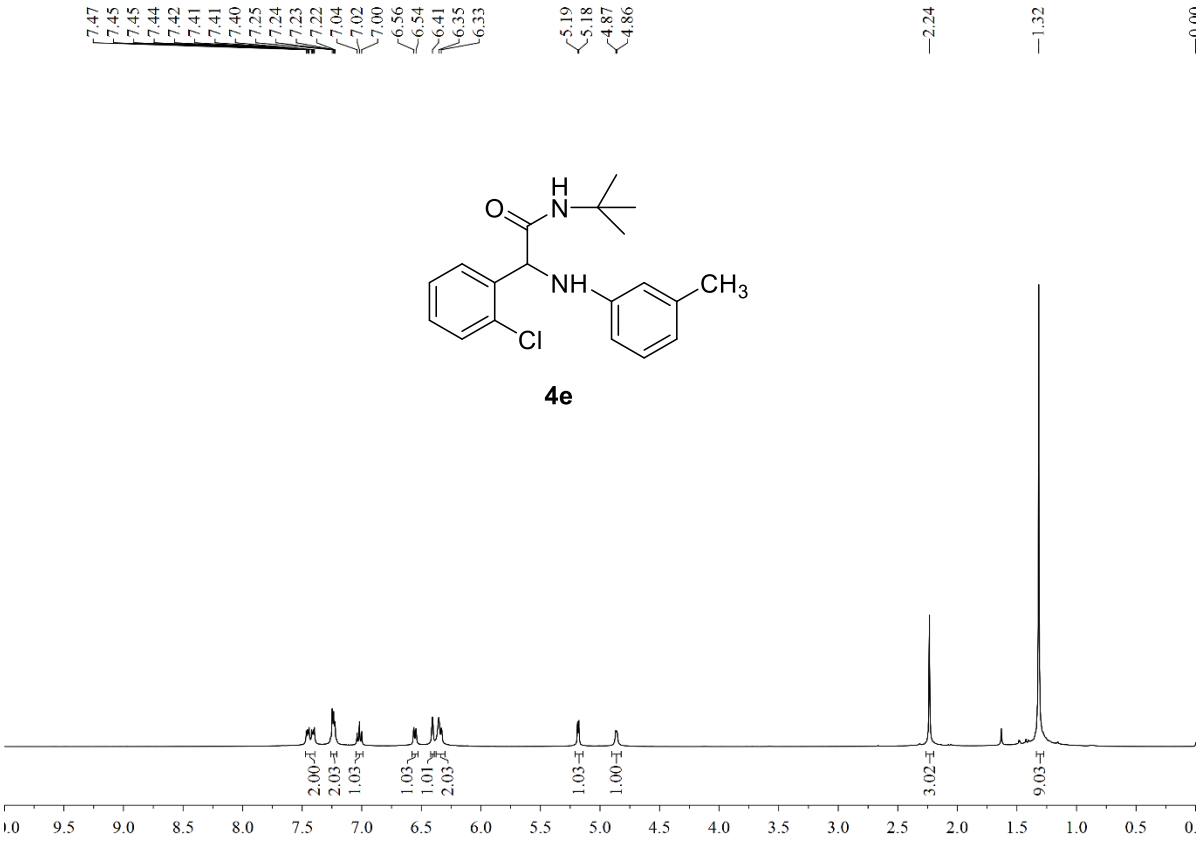
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4d.



^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4d.

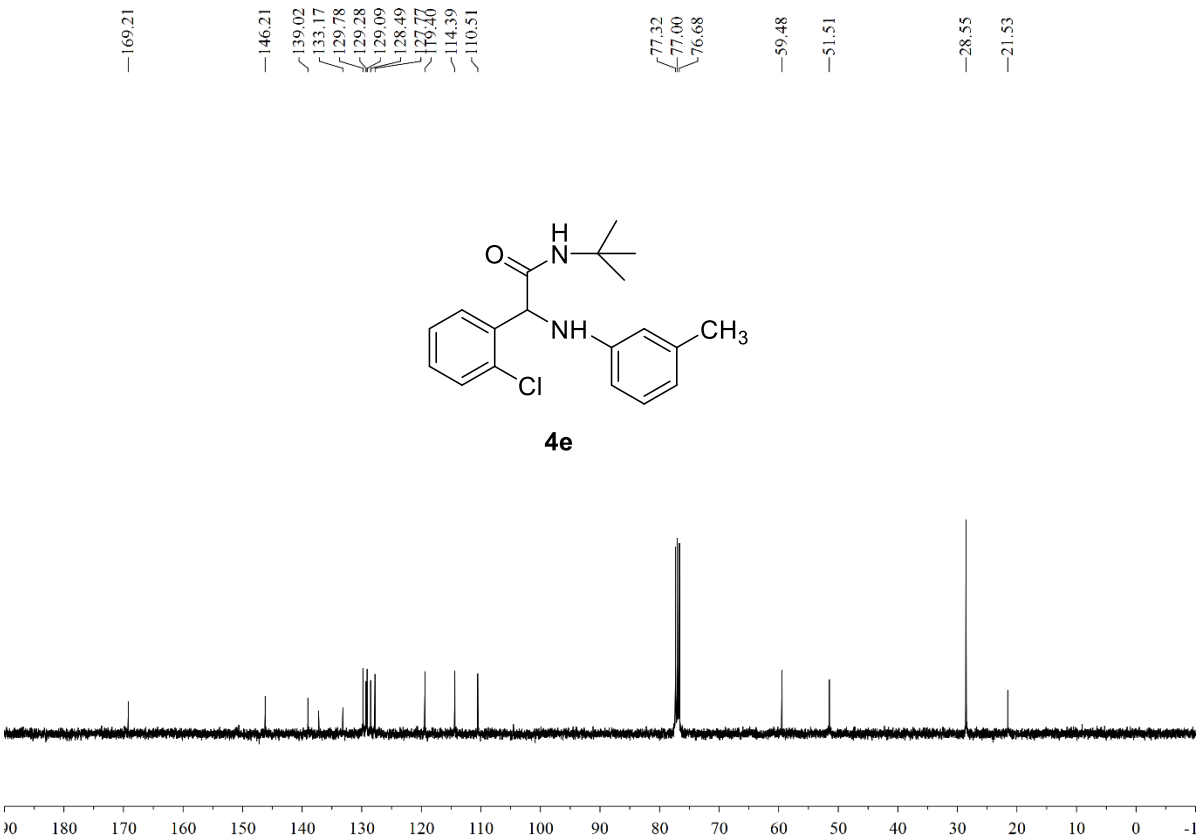


^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4e.



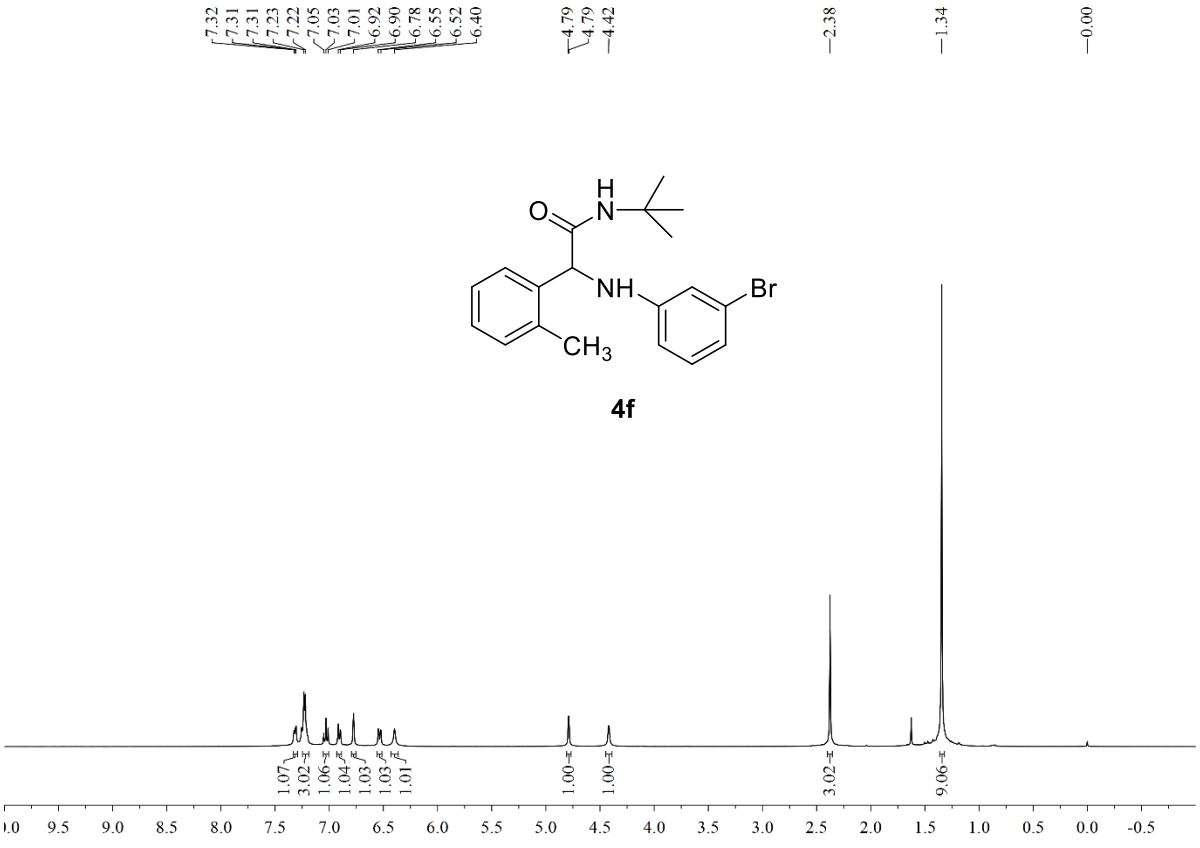
4e

^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4e.



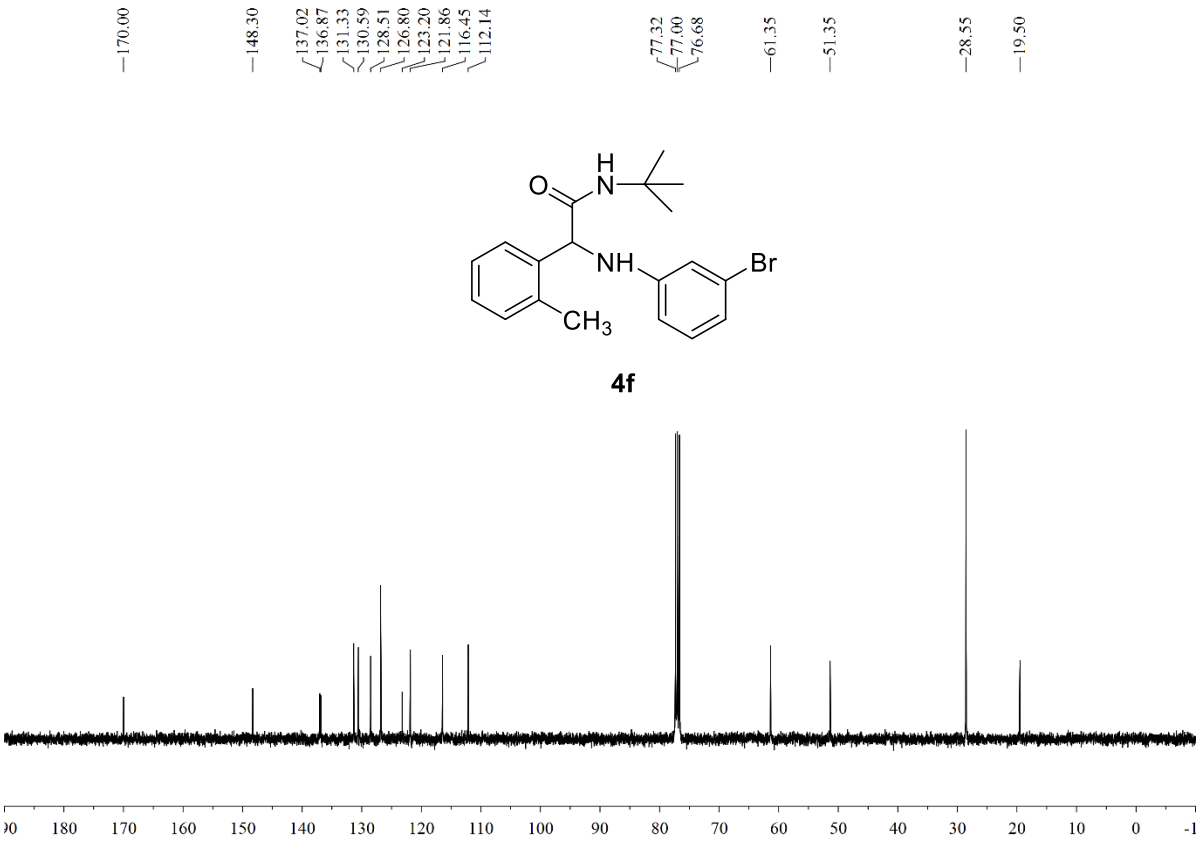
4e

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



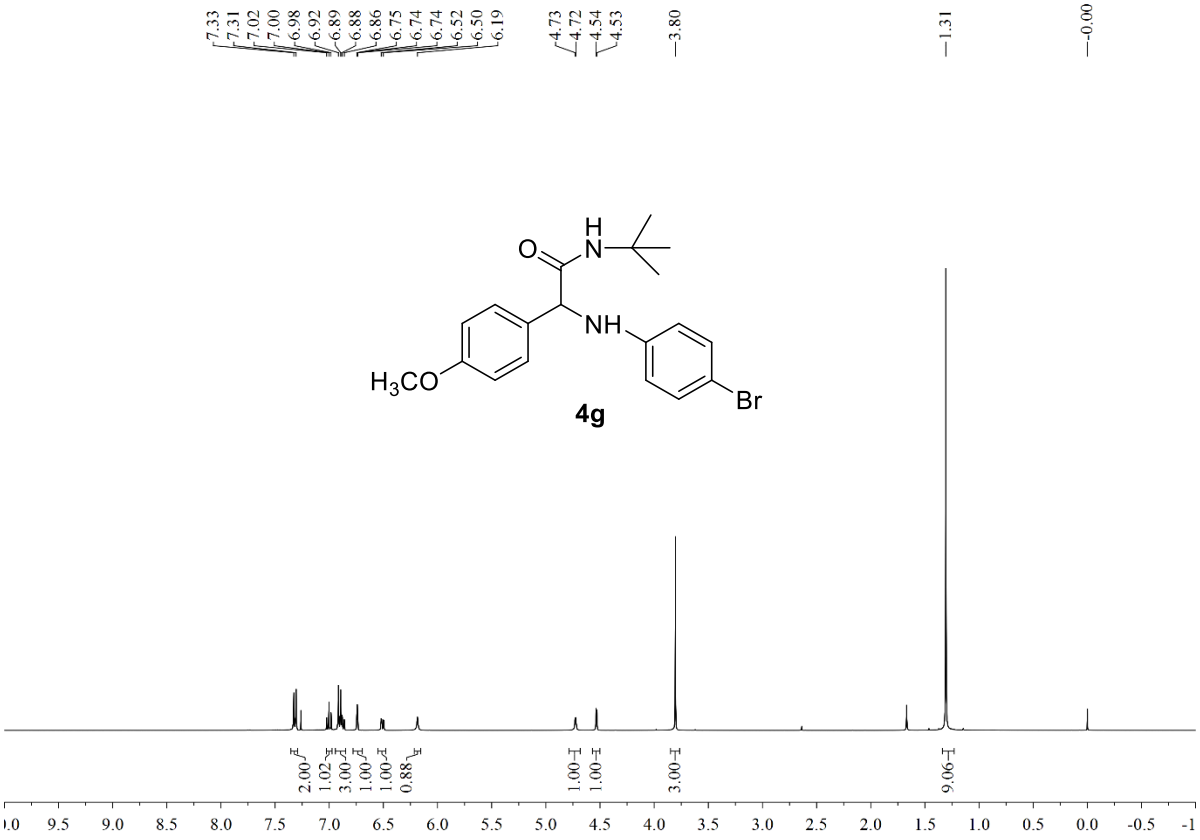
4f

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

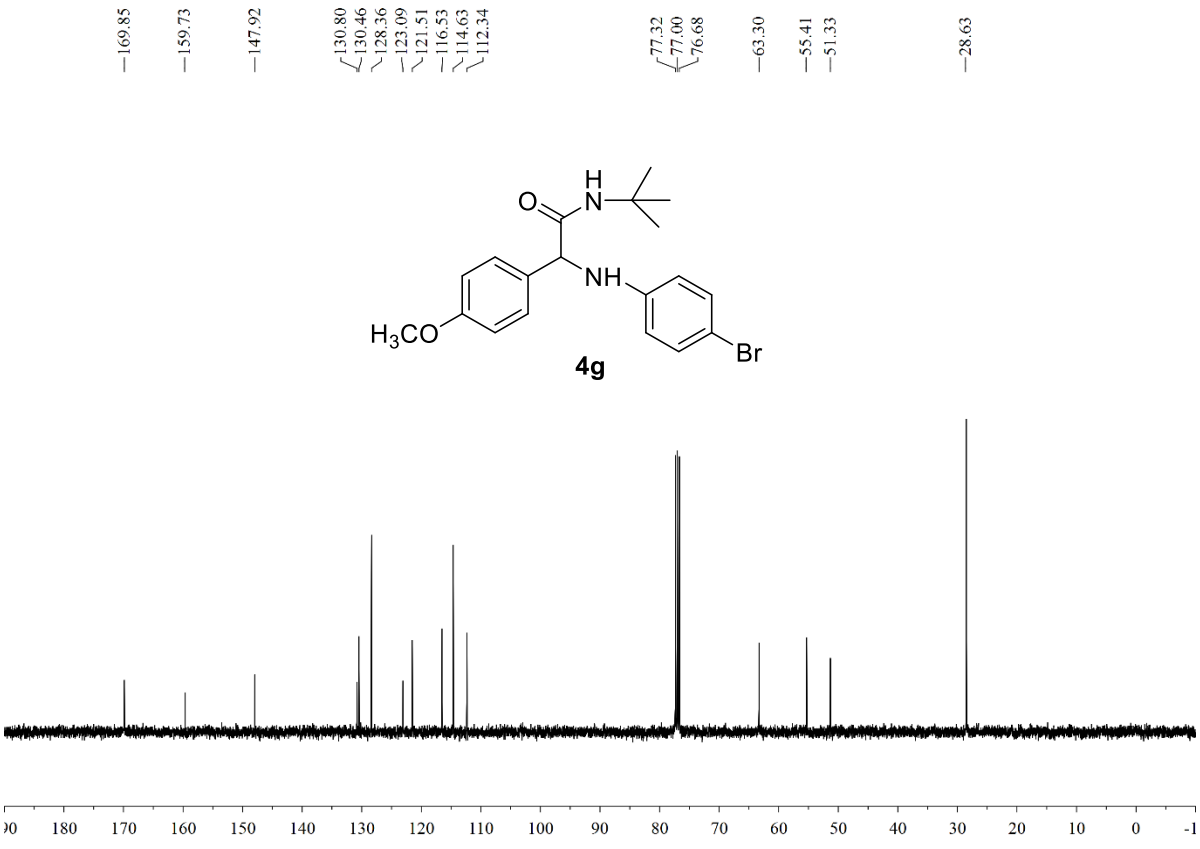


4f

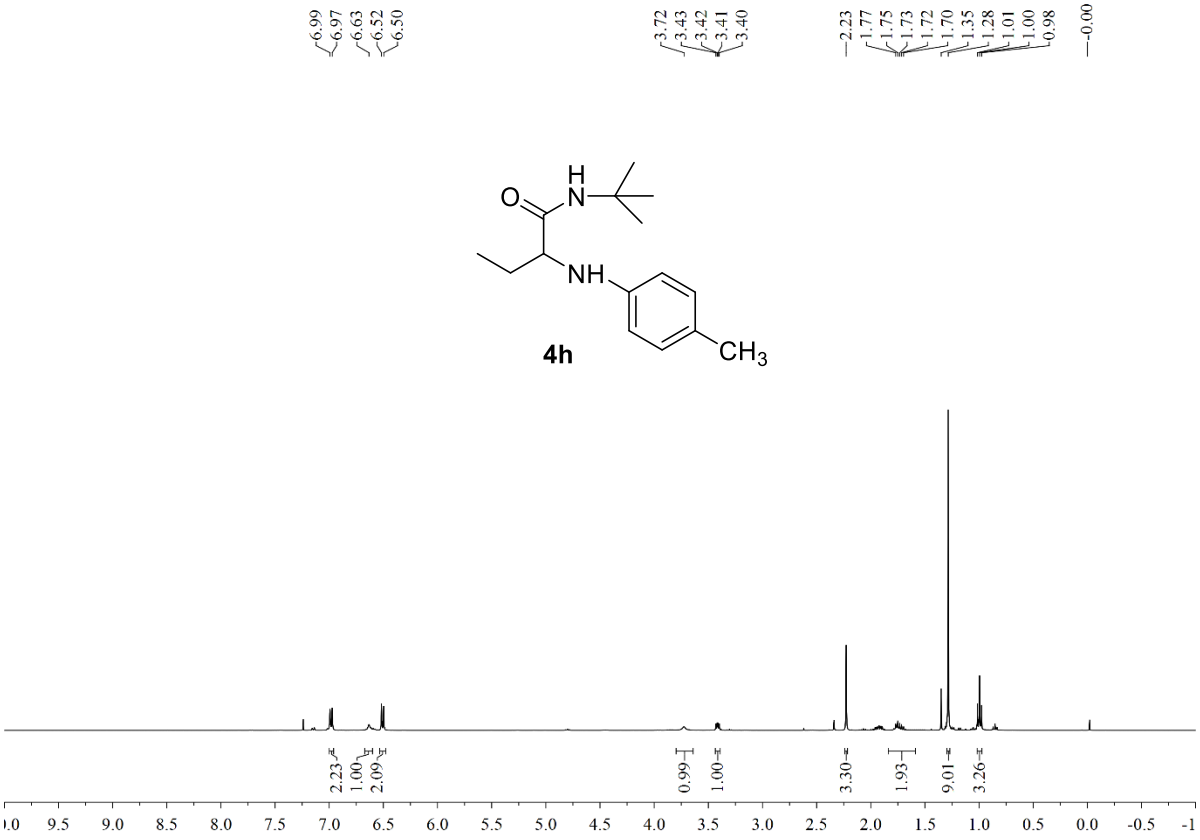
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4g.



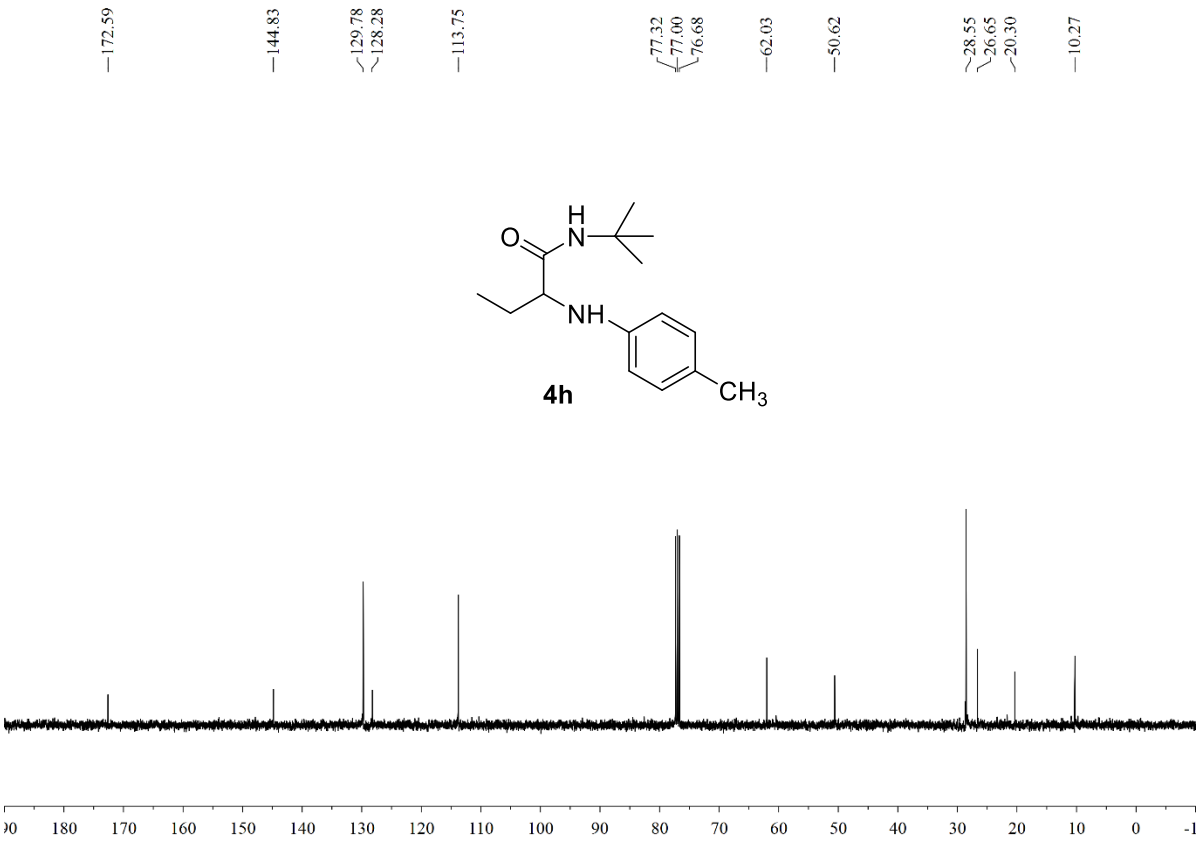
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4g.



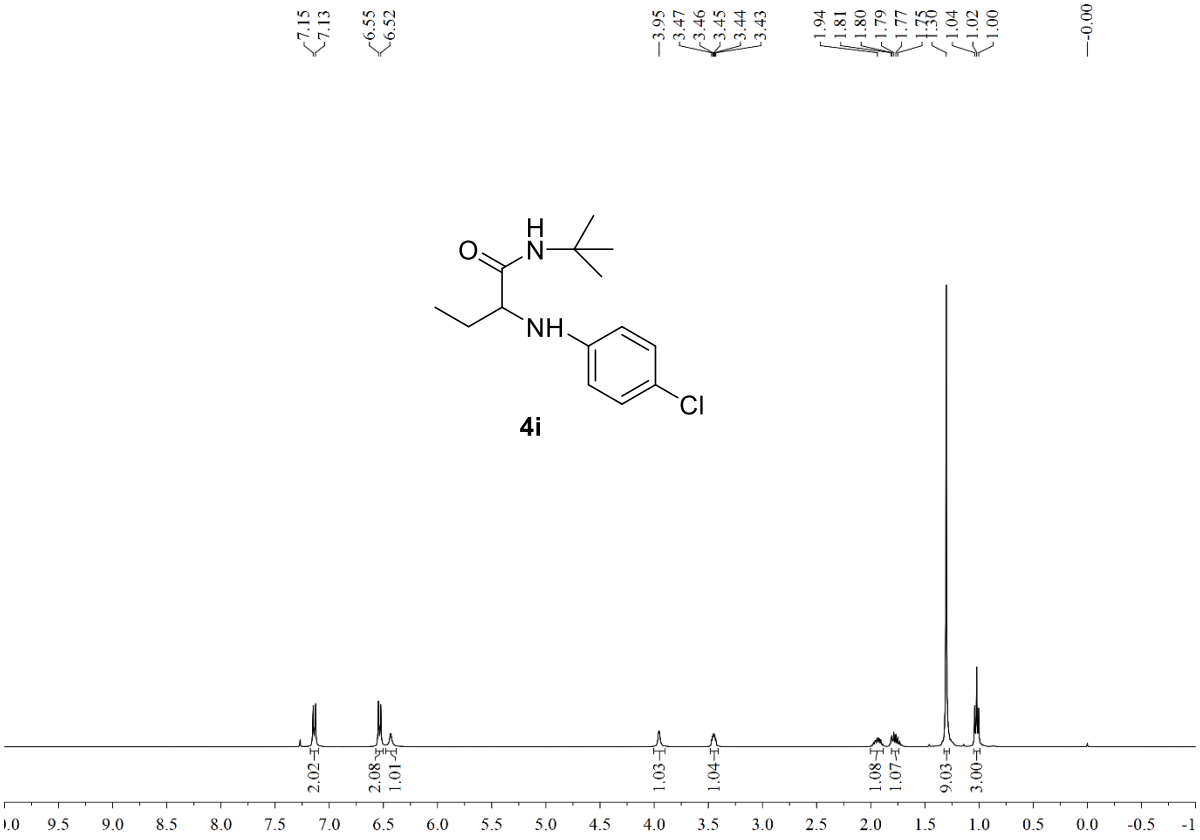
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4h.



^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4h.

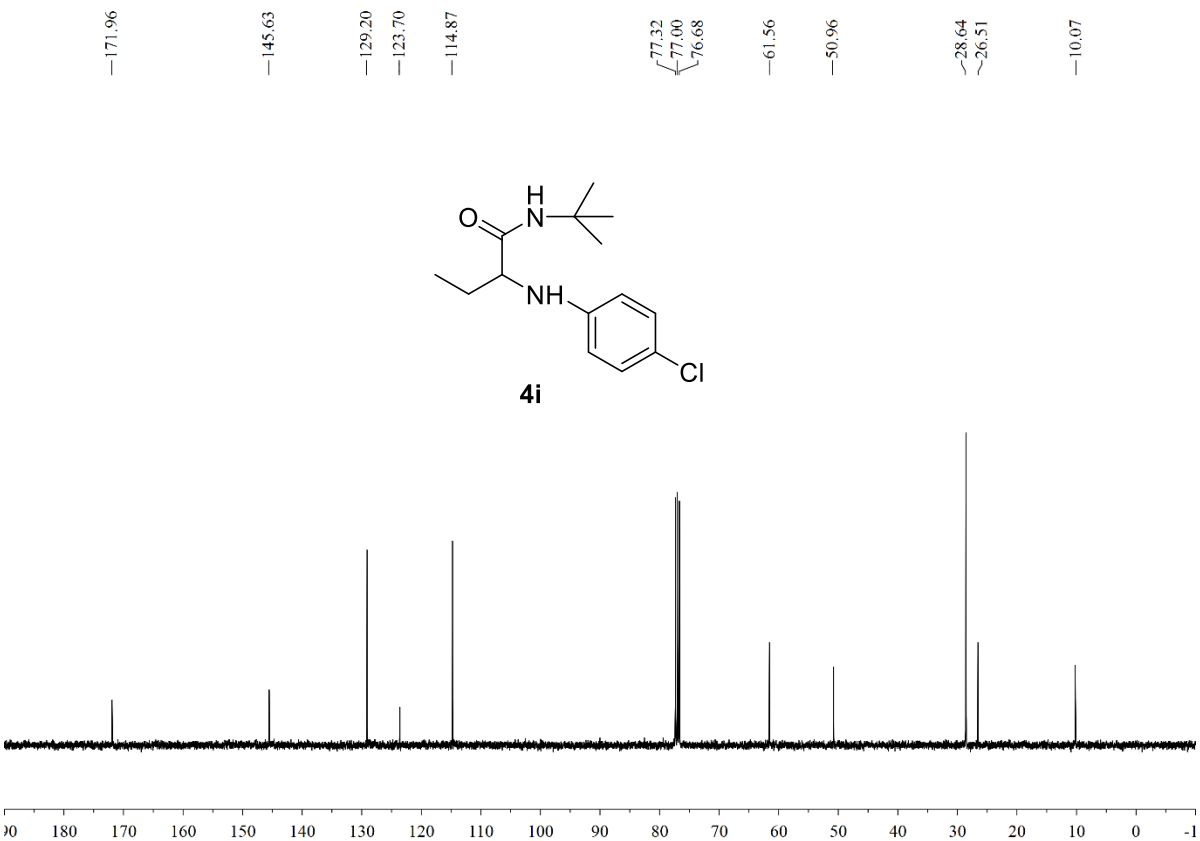


^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4i.



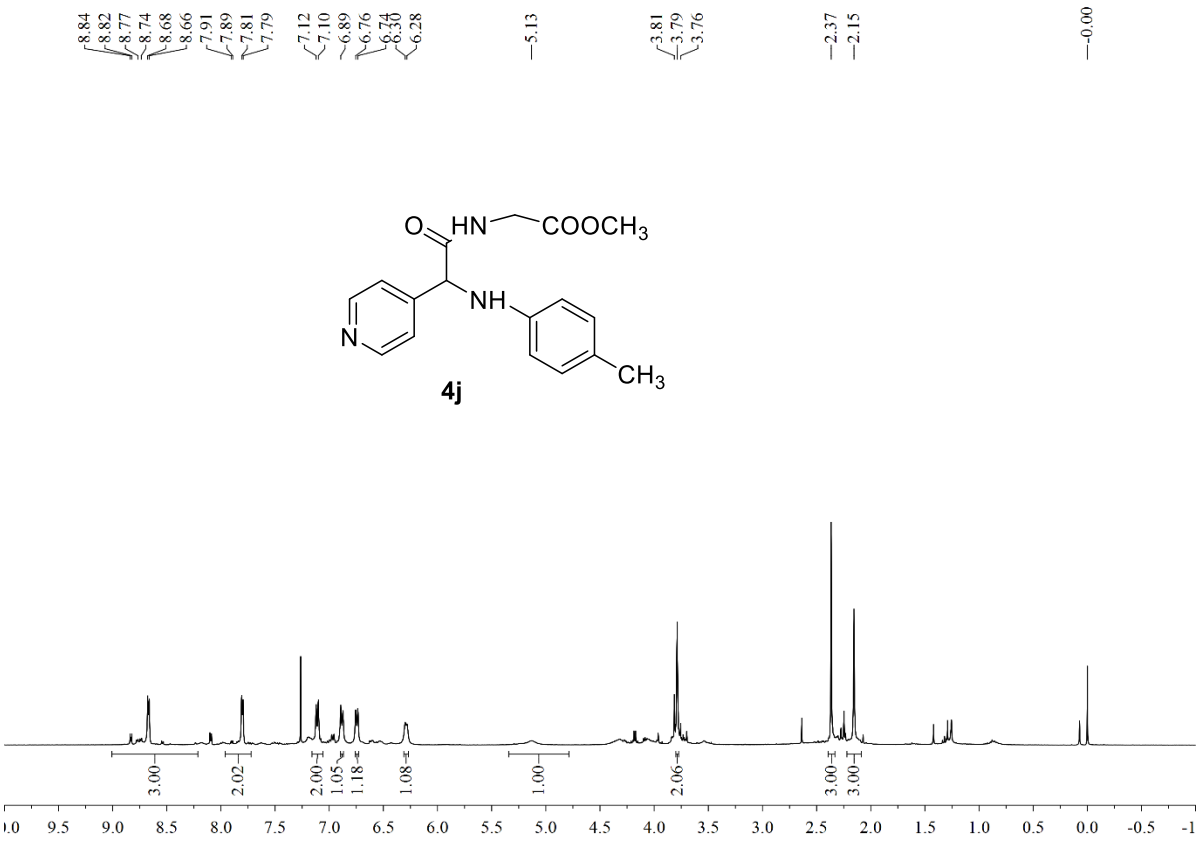
4i

^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4i.

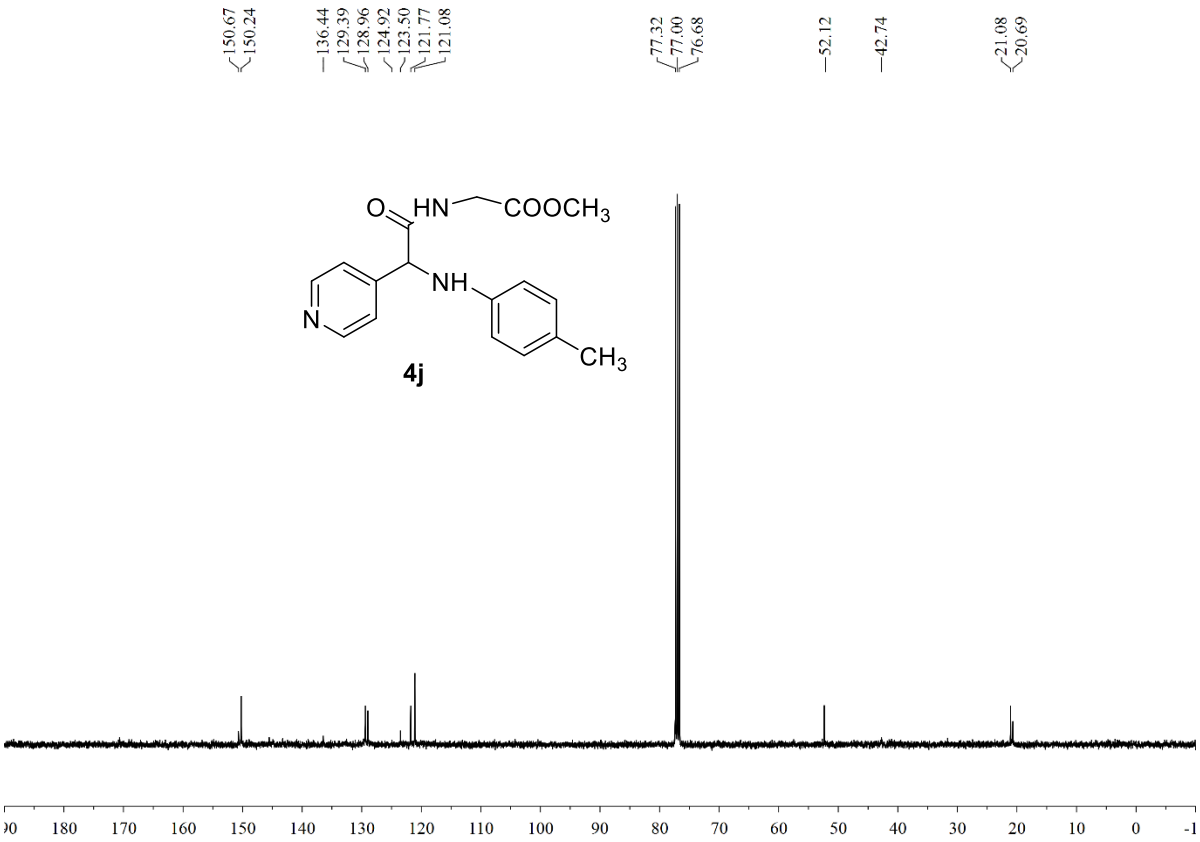


4i

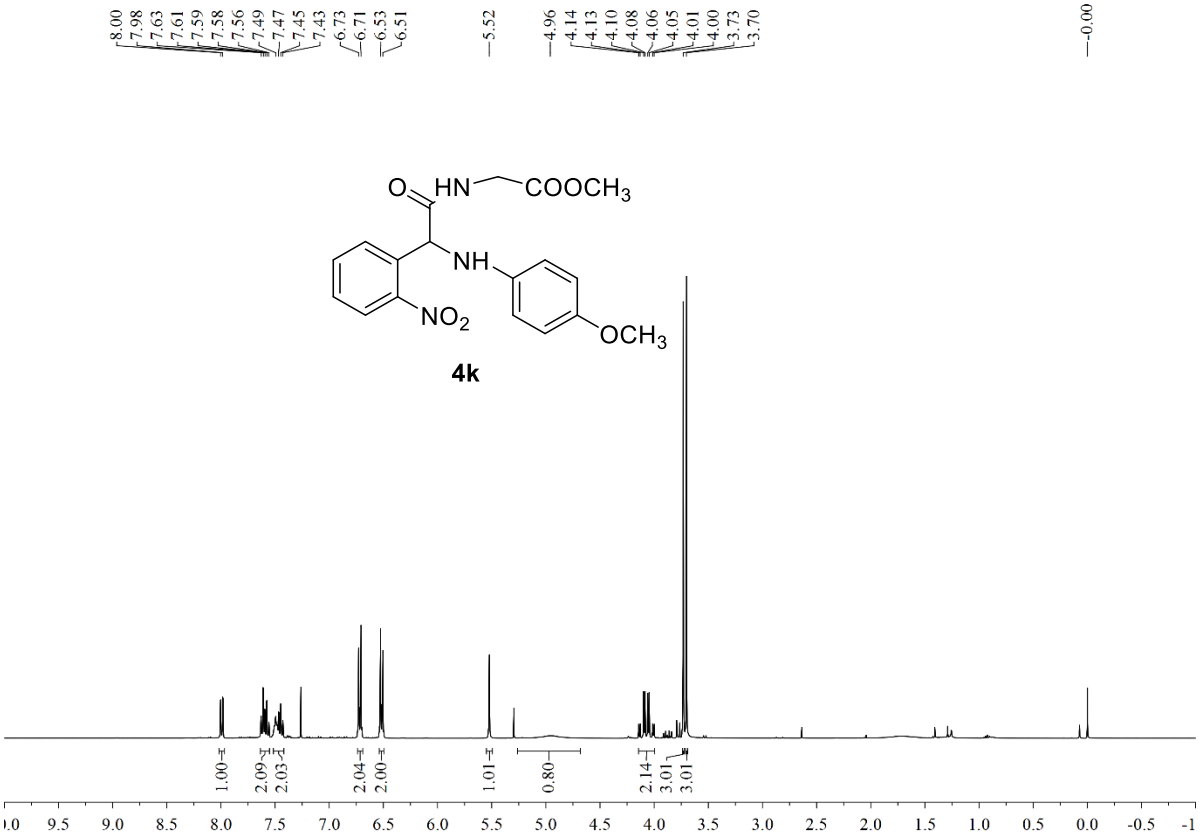
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4j.



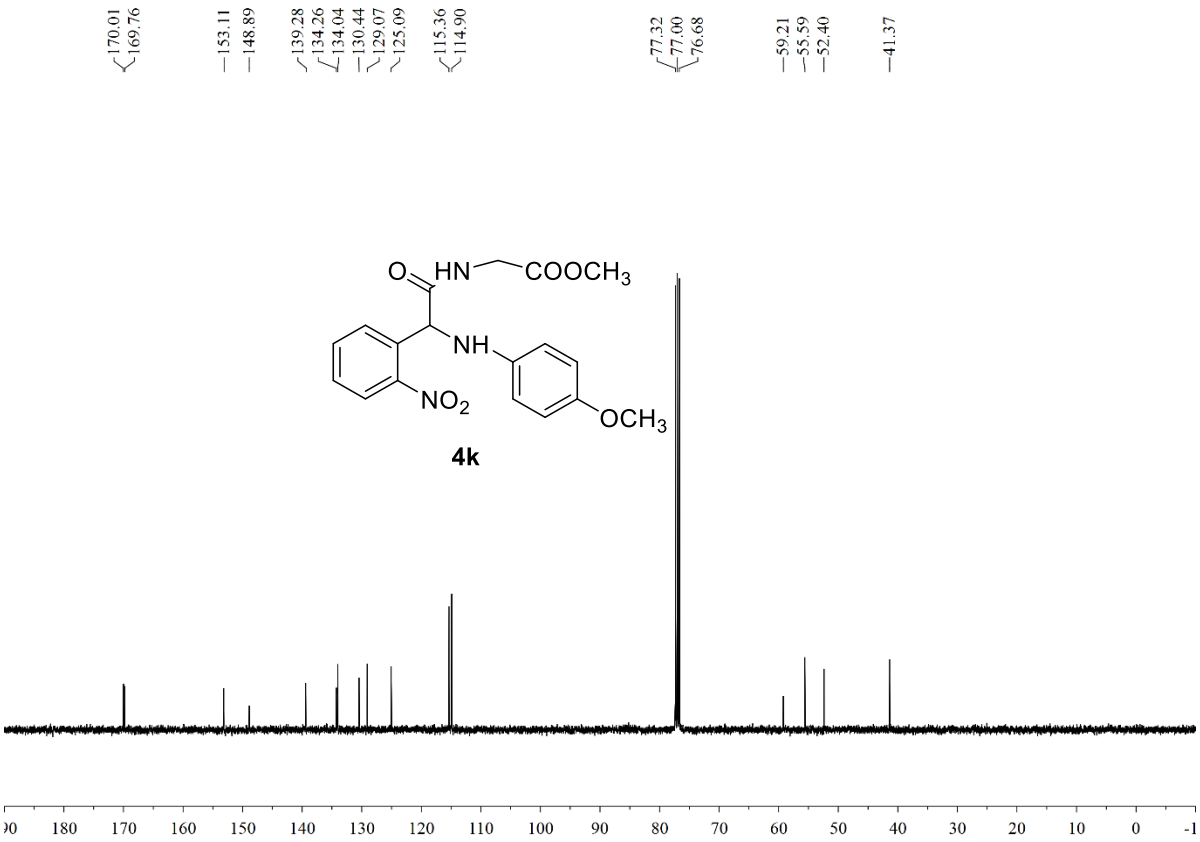
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4j.



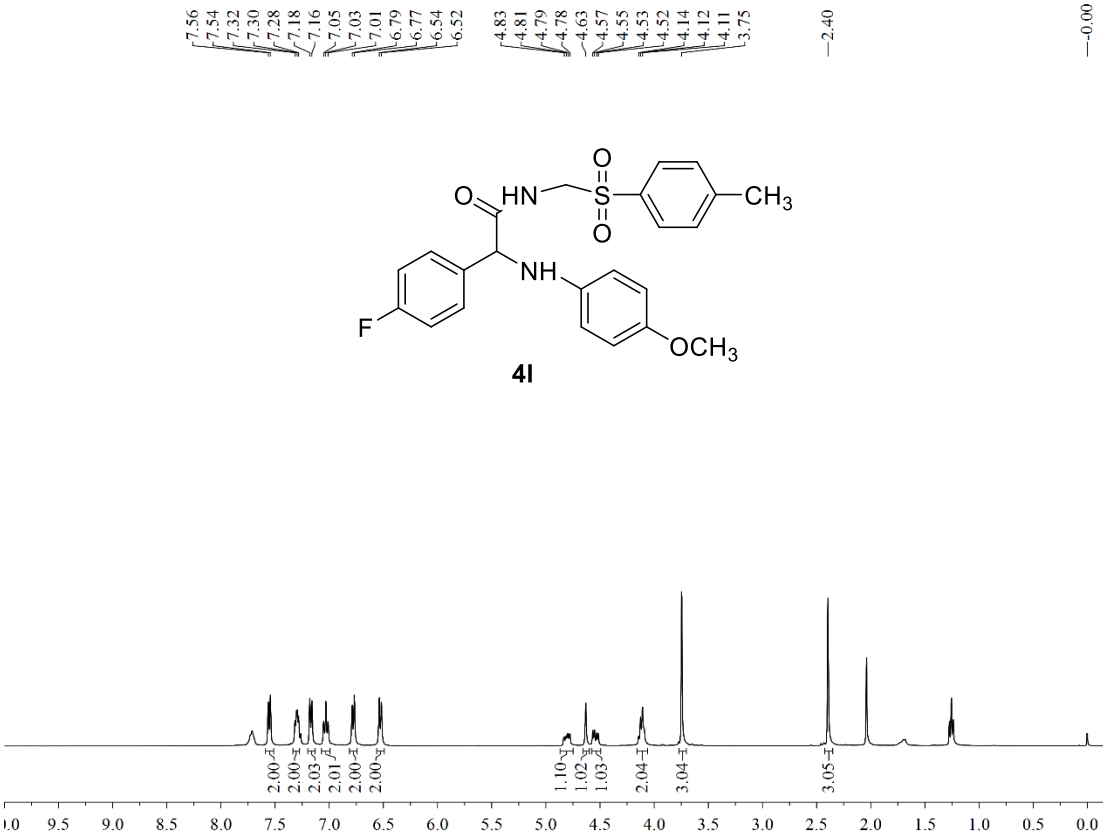
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4k.



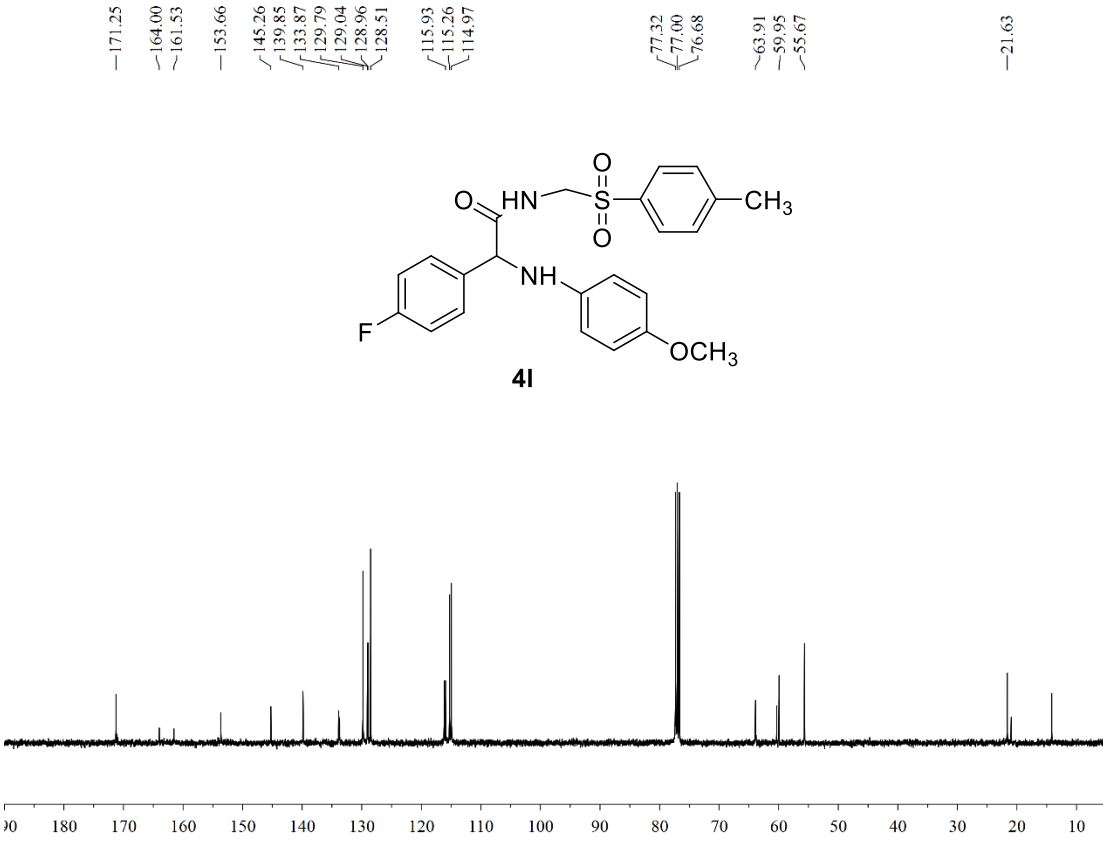
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4k.



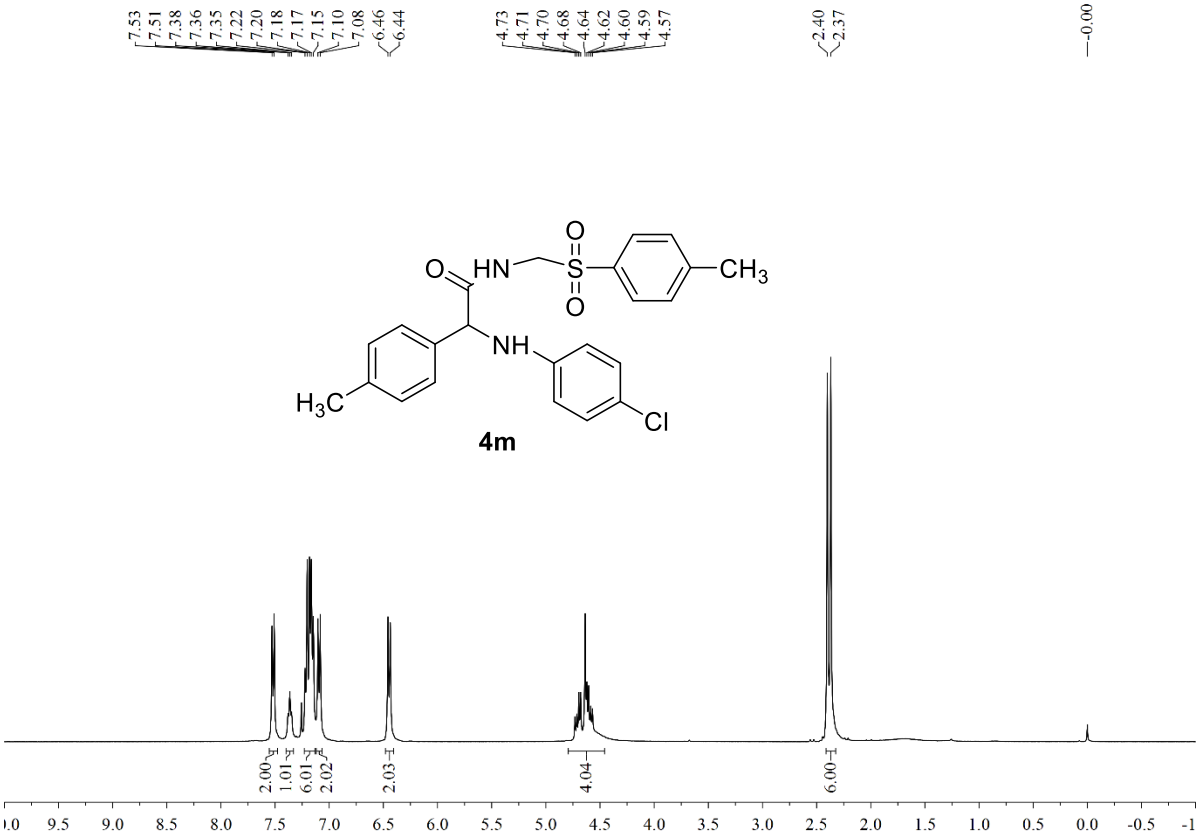
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4l.



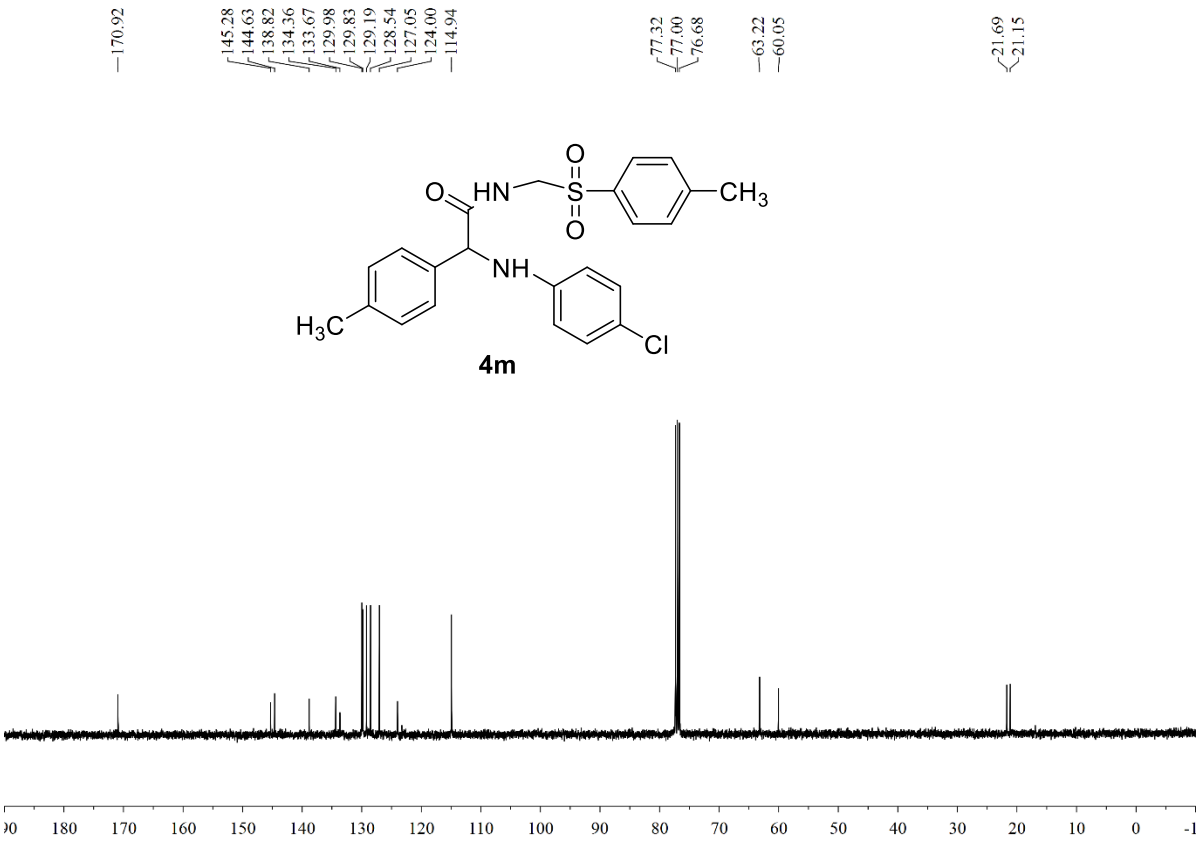
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4l.



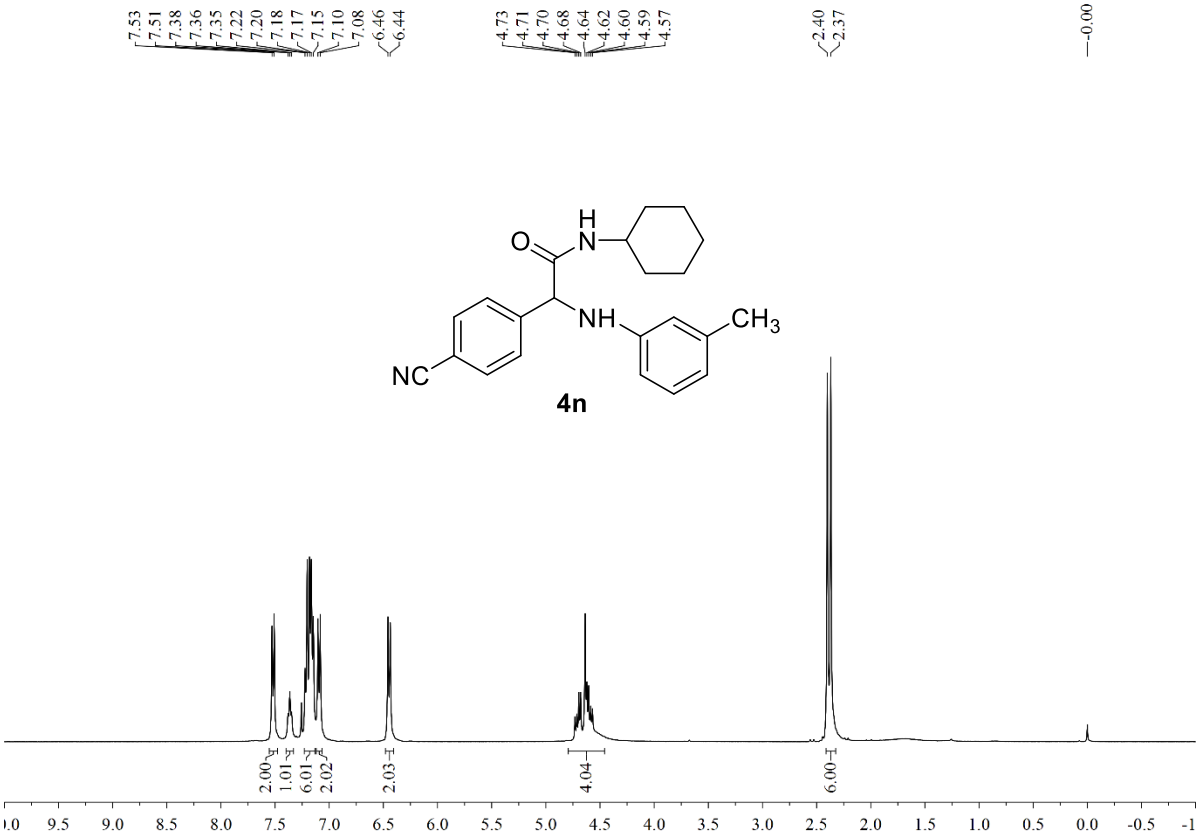
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4m.



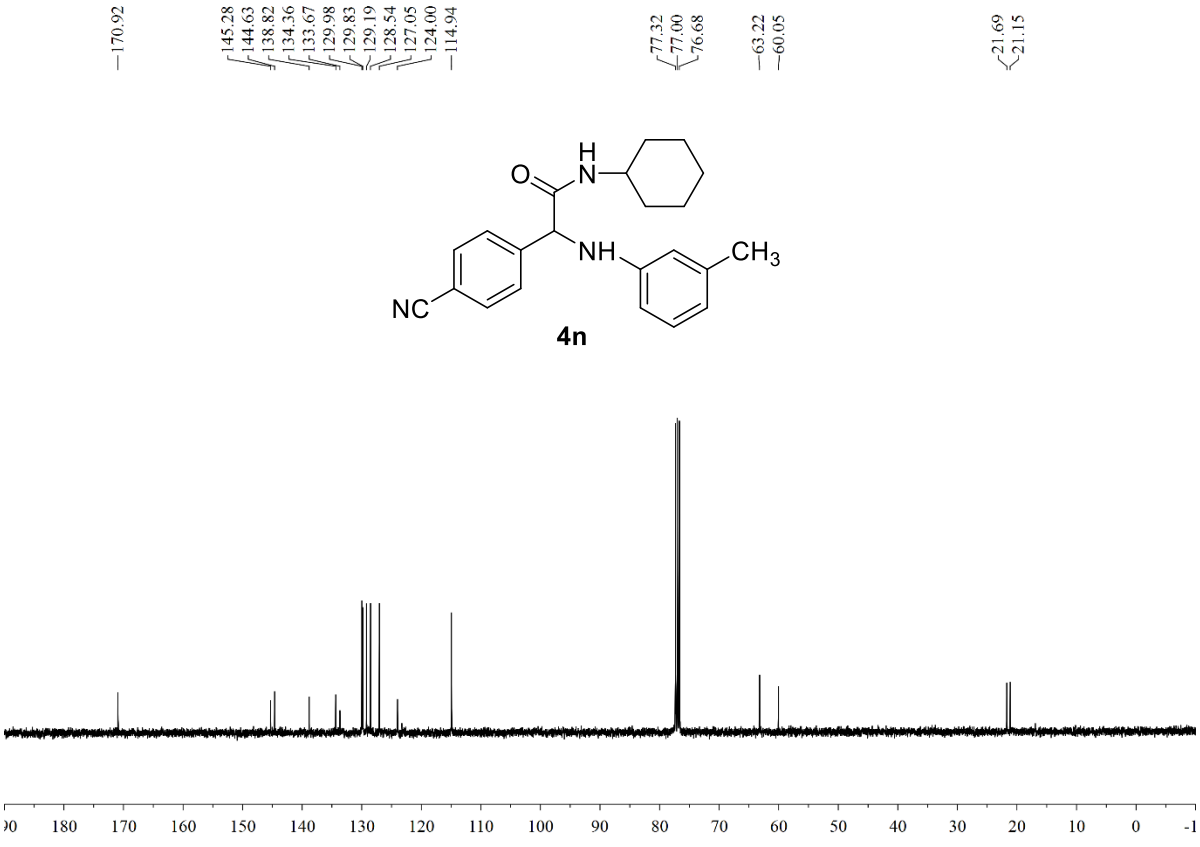
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4m.



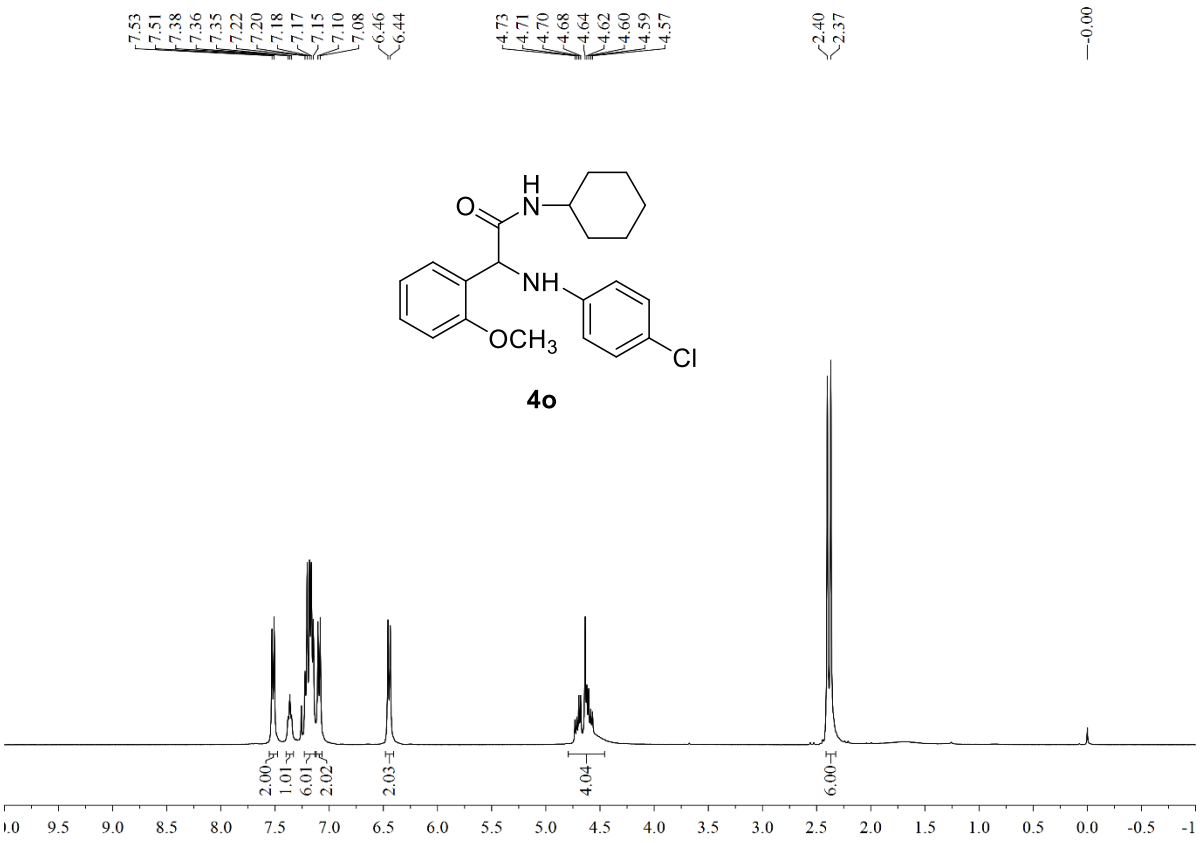
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4n.



^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4n.

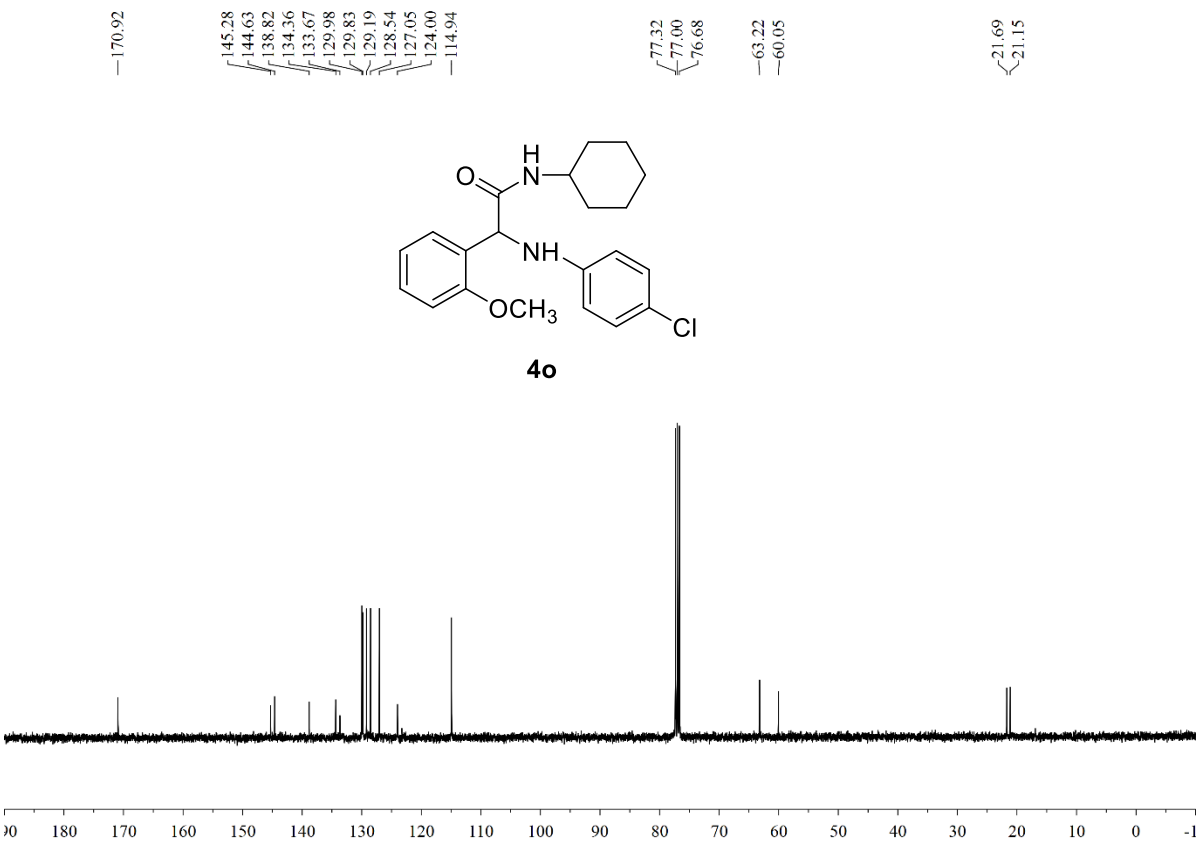


^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4o.



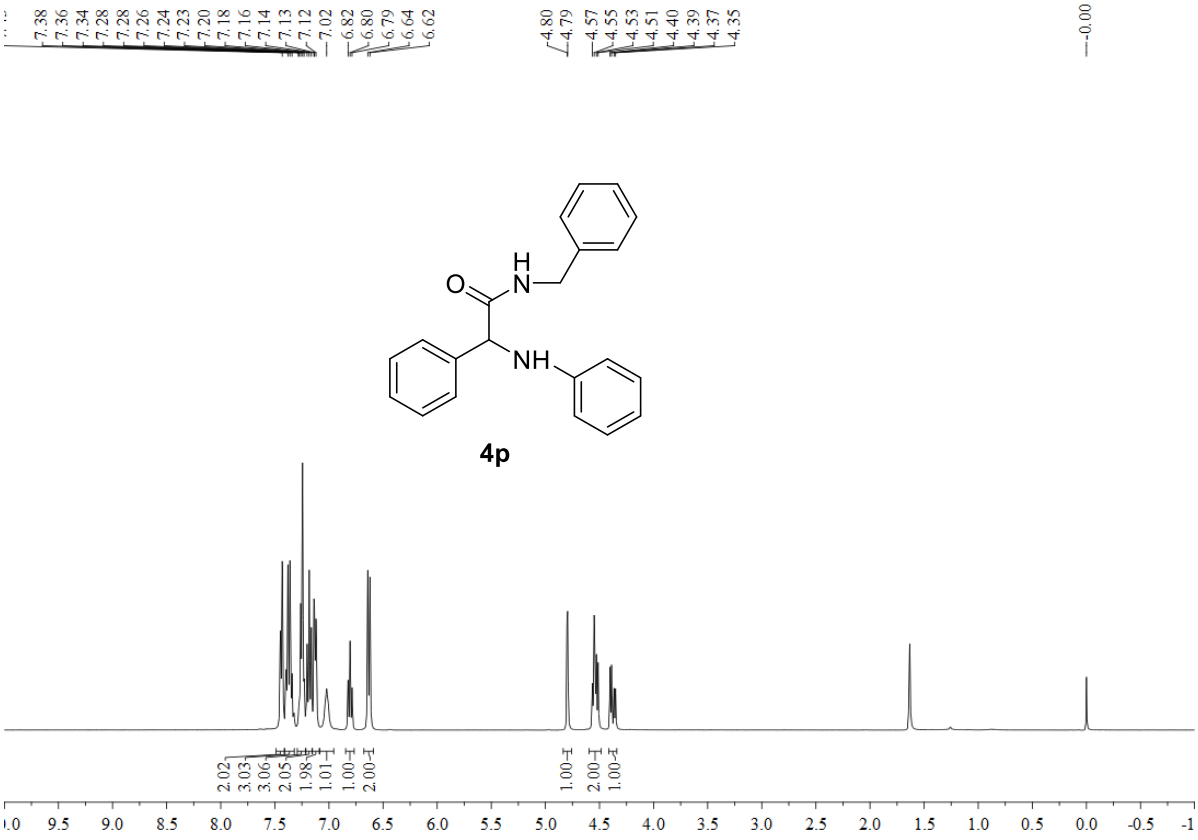
4o

^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4o.

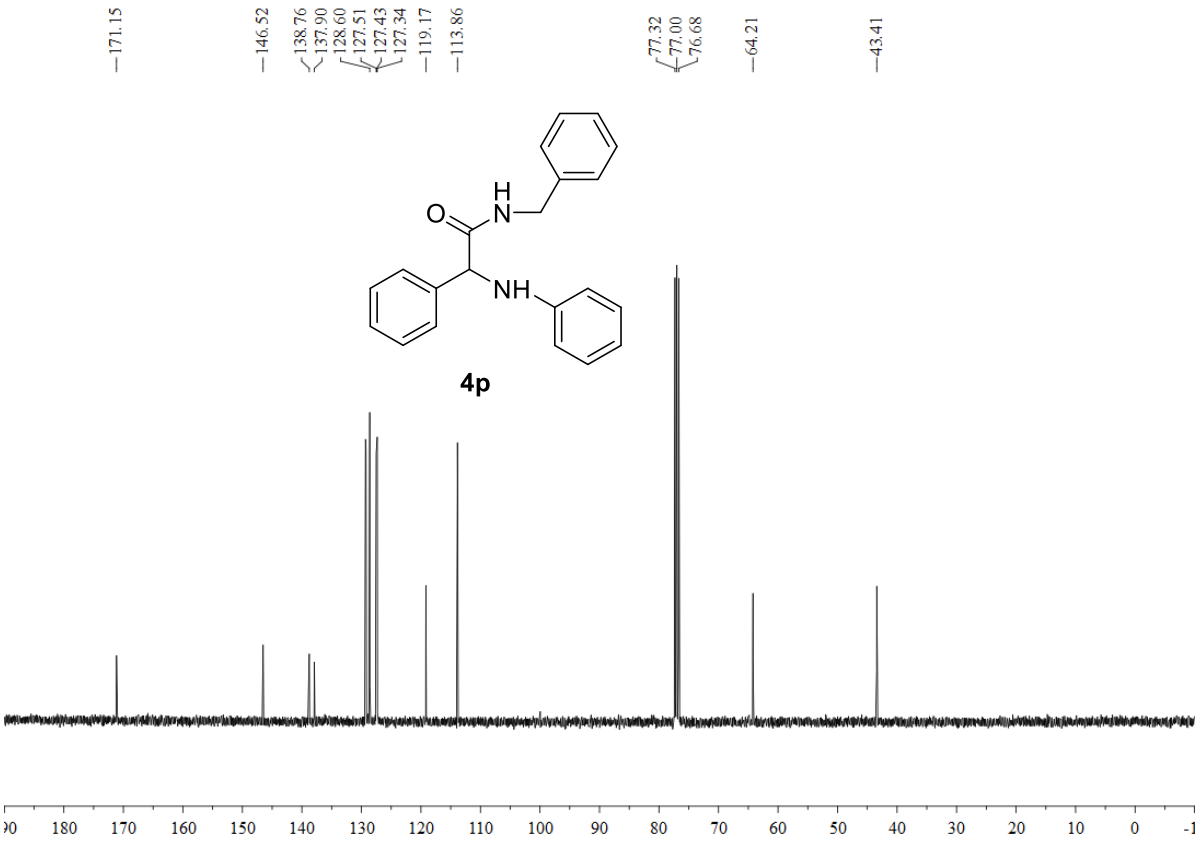


4o

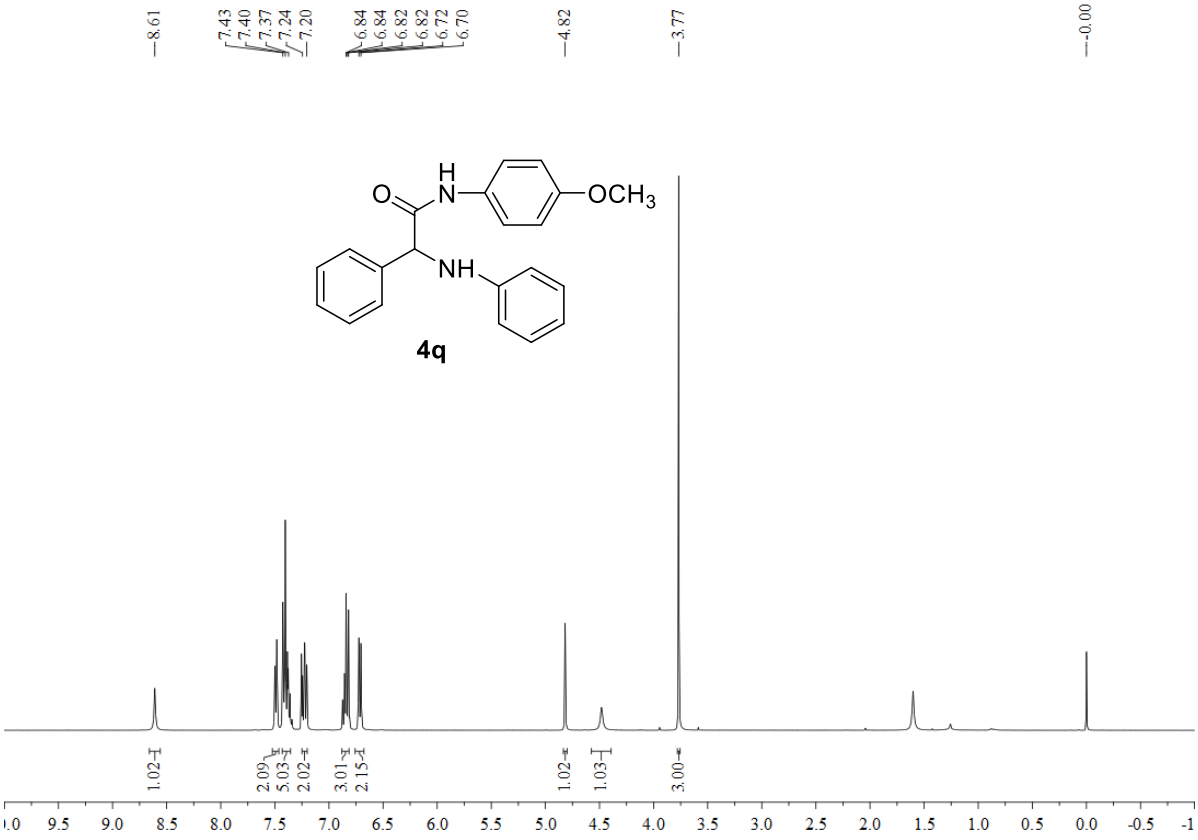
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4p.



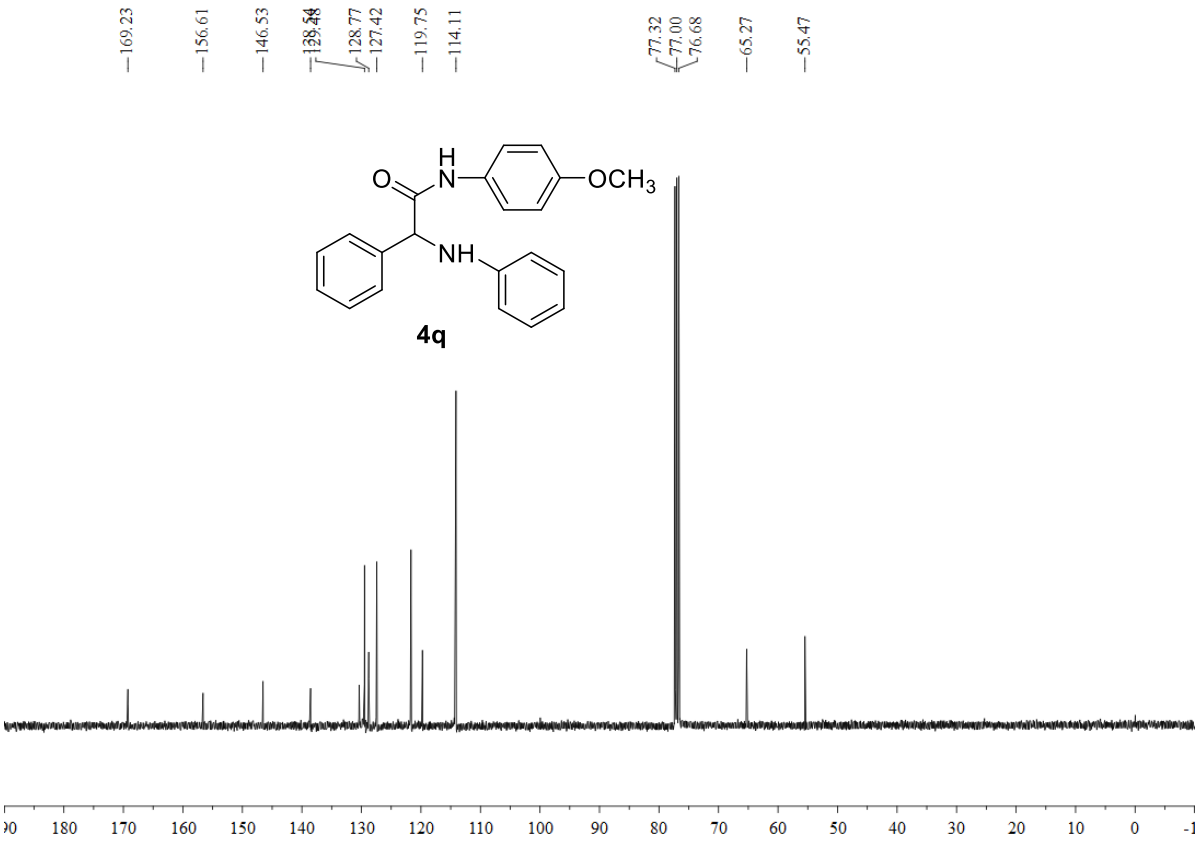
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4p.



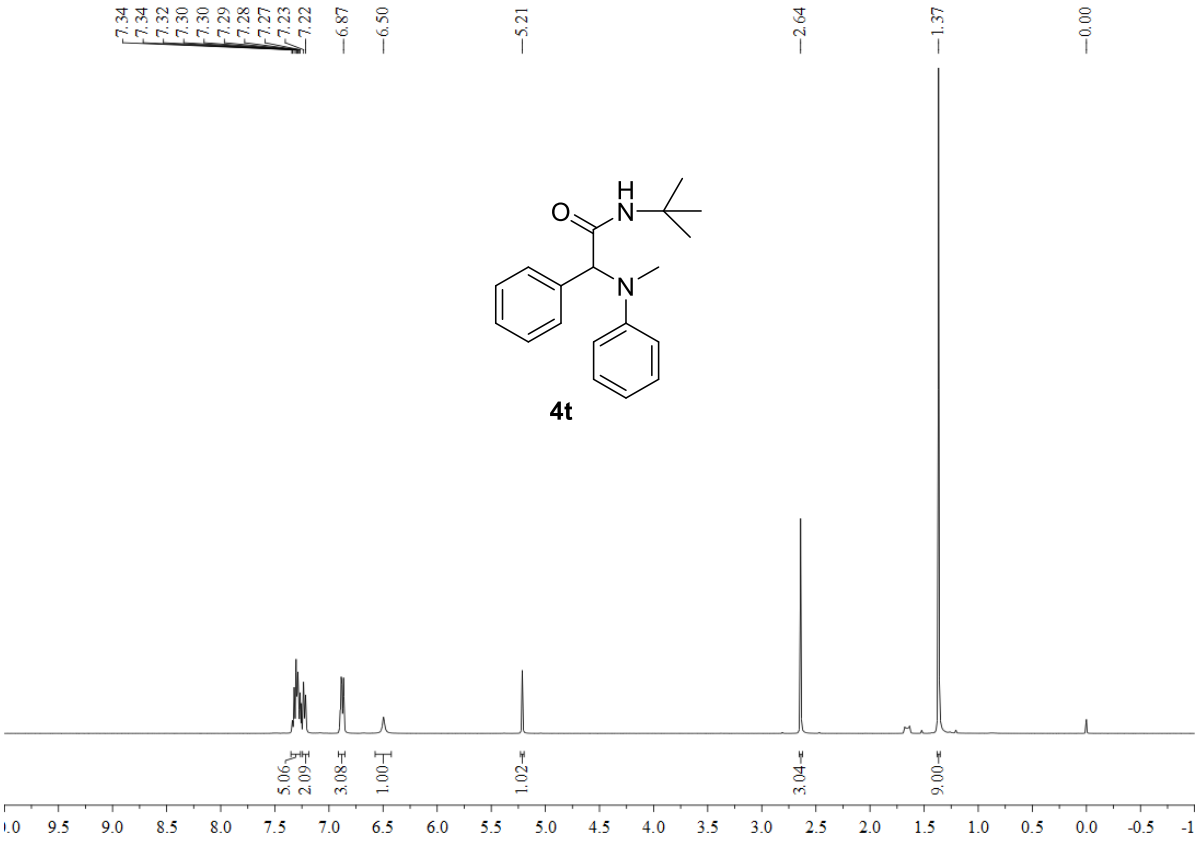
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4q.



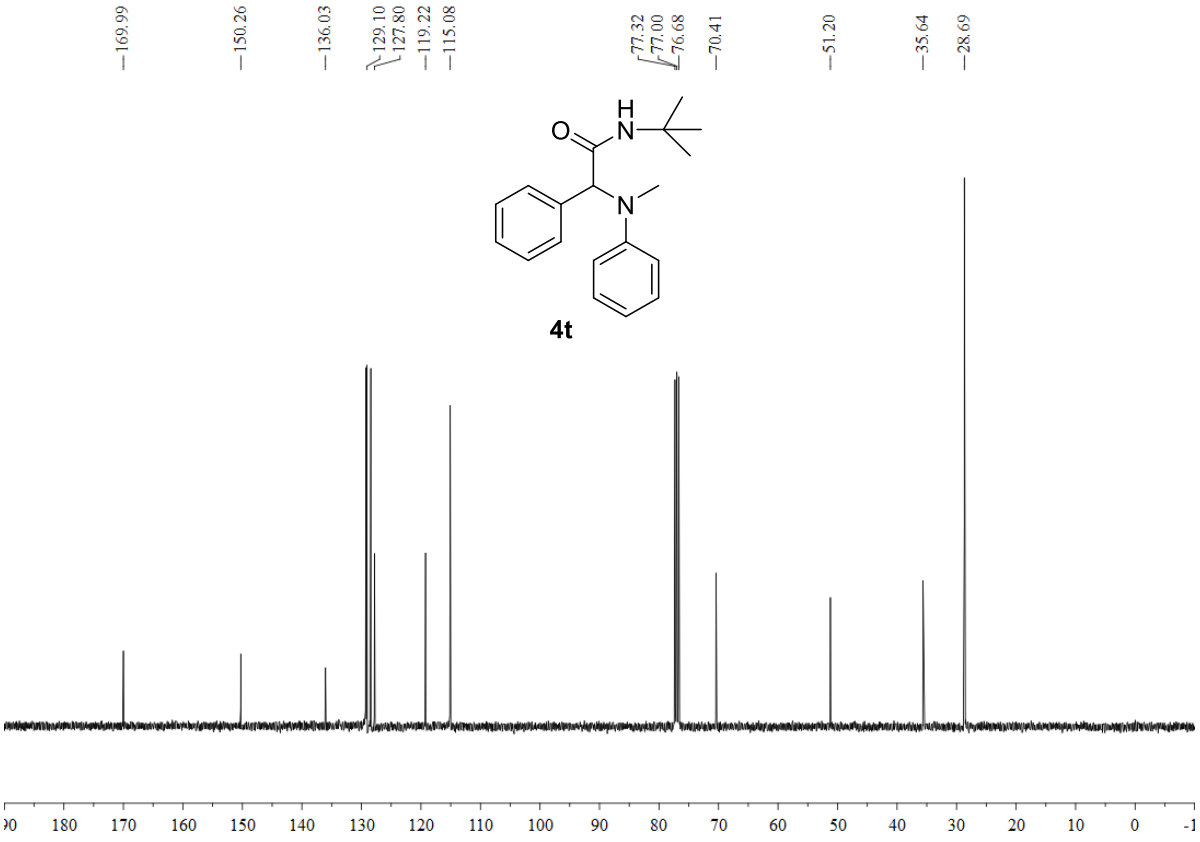
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4q.



^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4t.

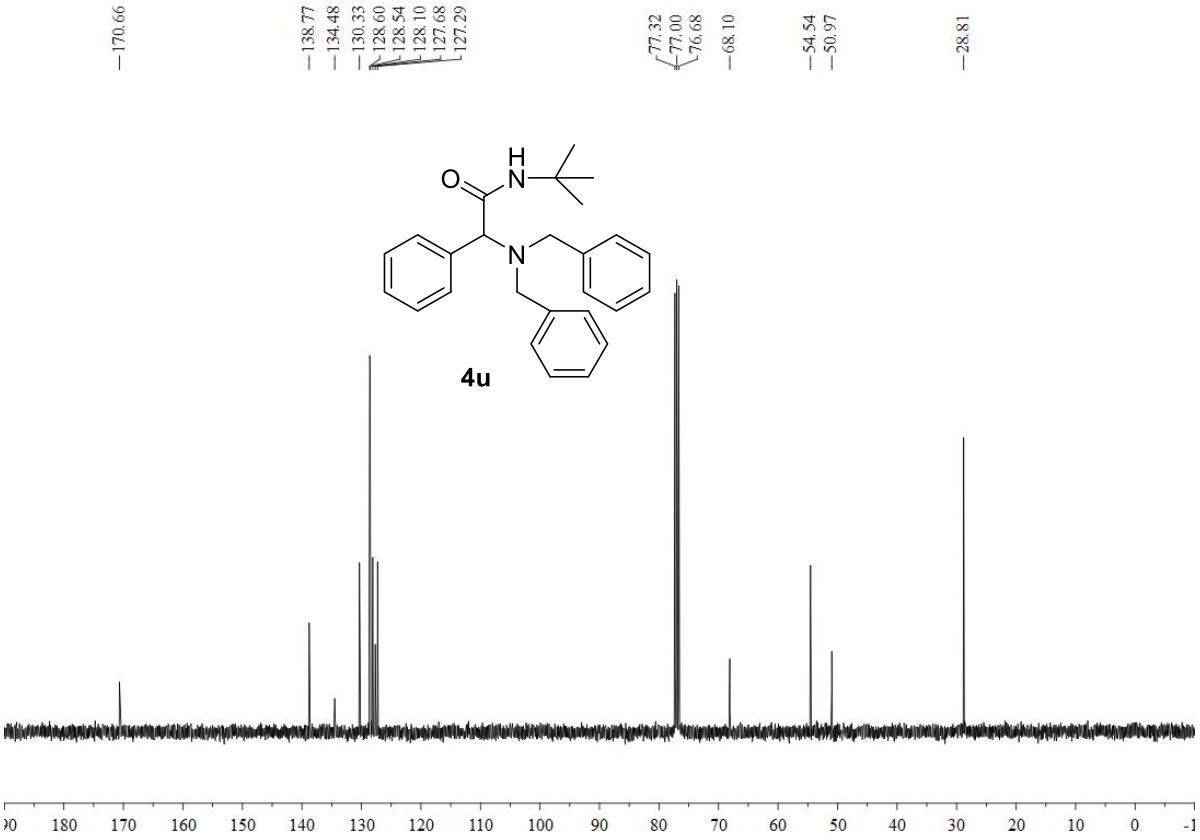


^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4t.

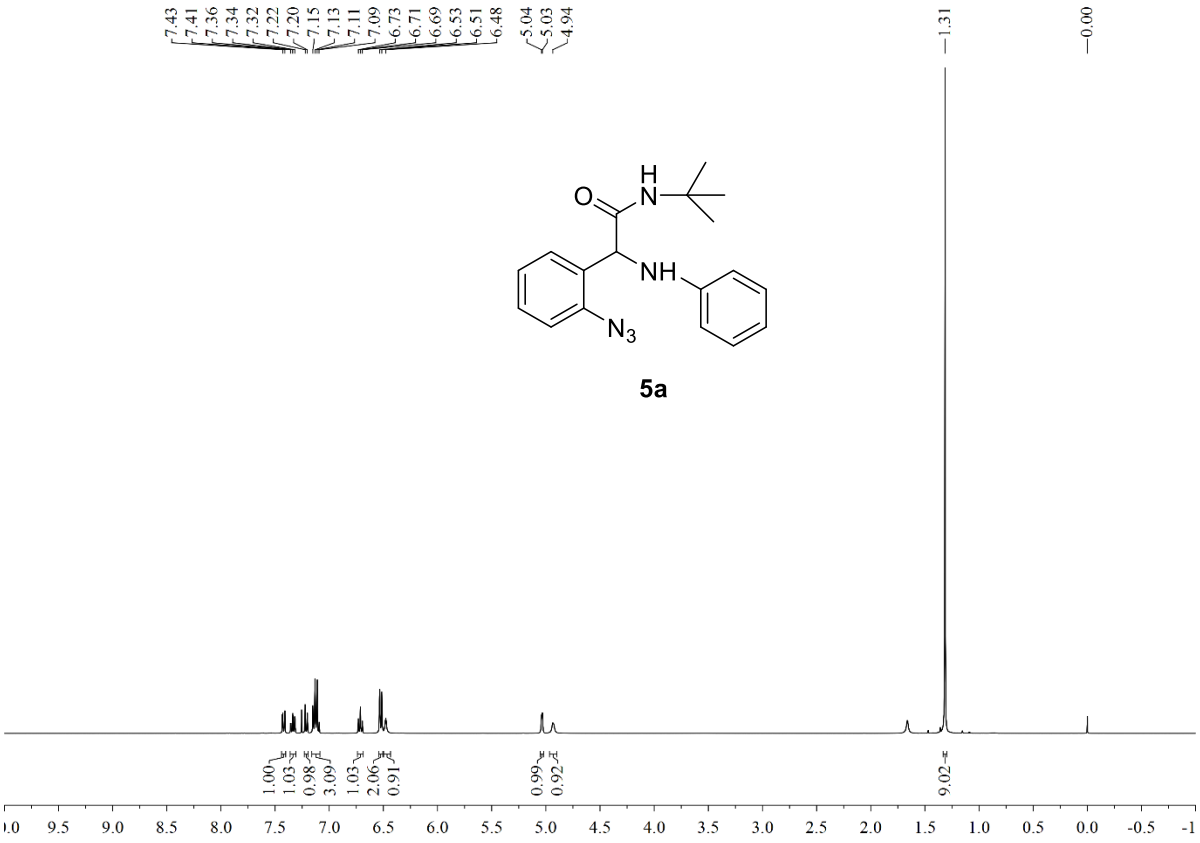


^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 4u.

^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 4u.

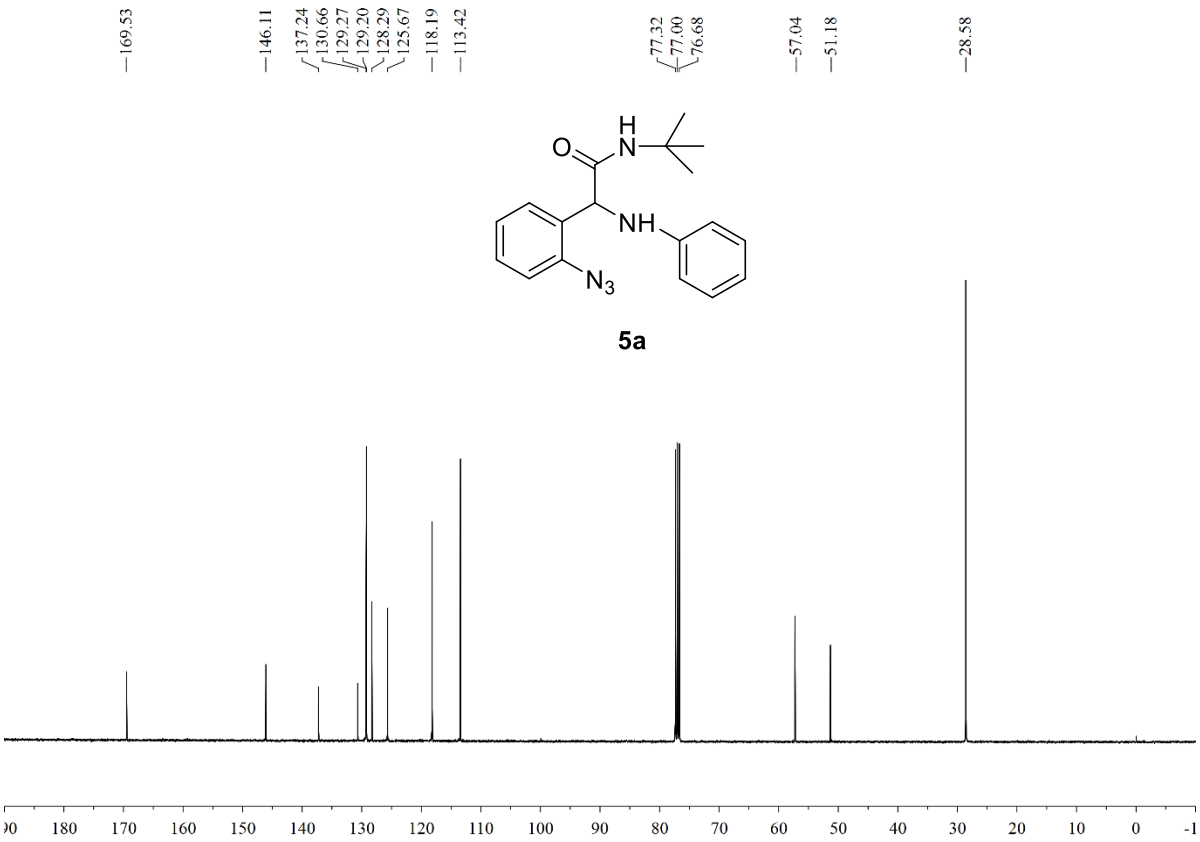


^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5a.



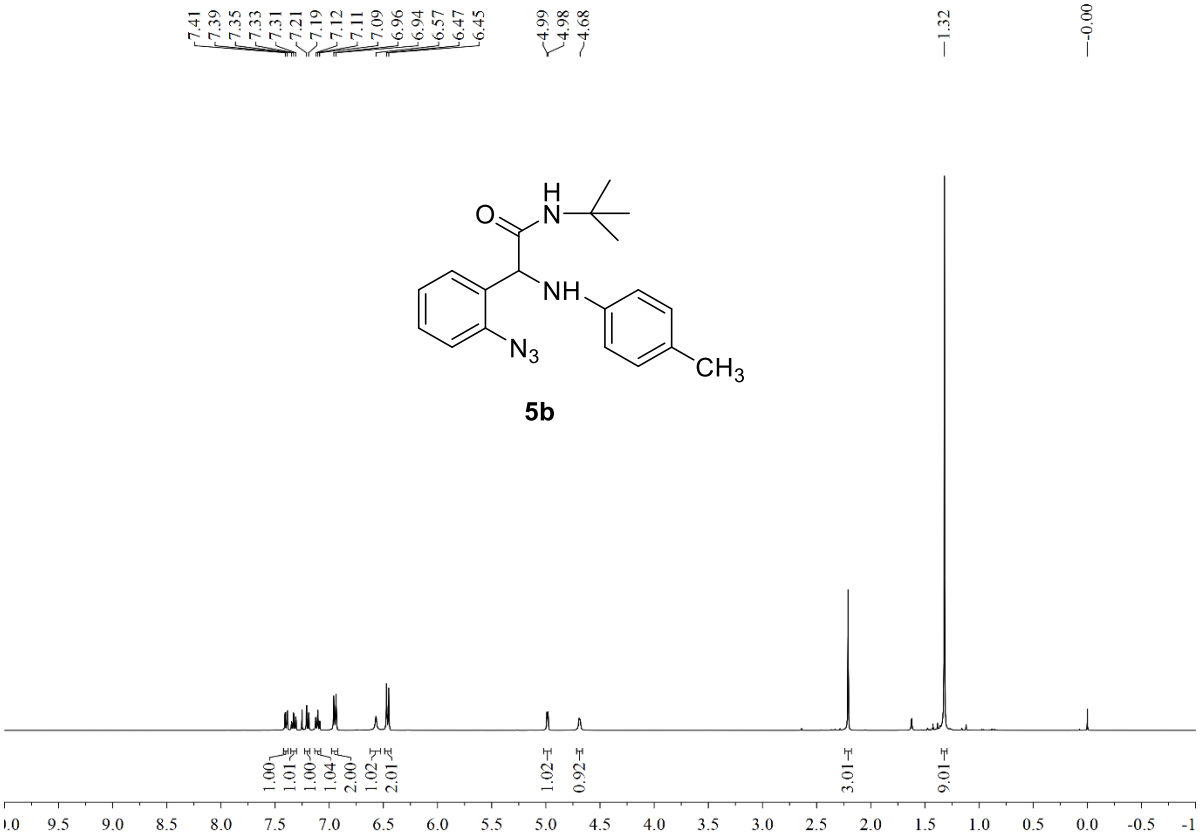
5a

^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5a.



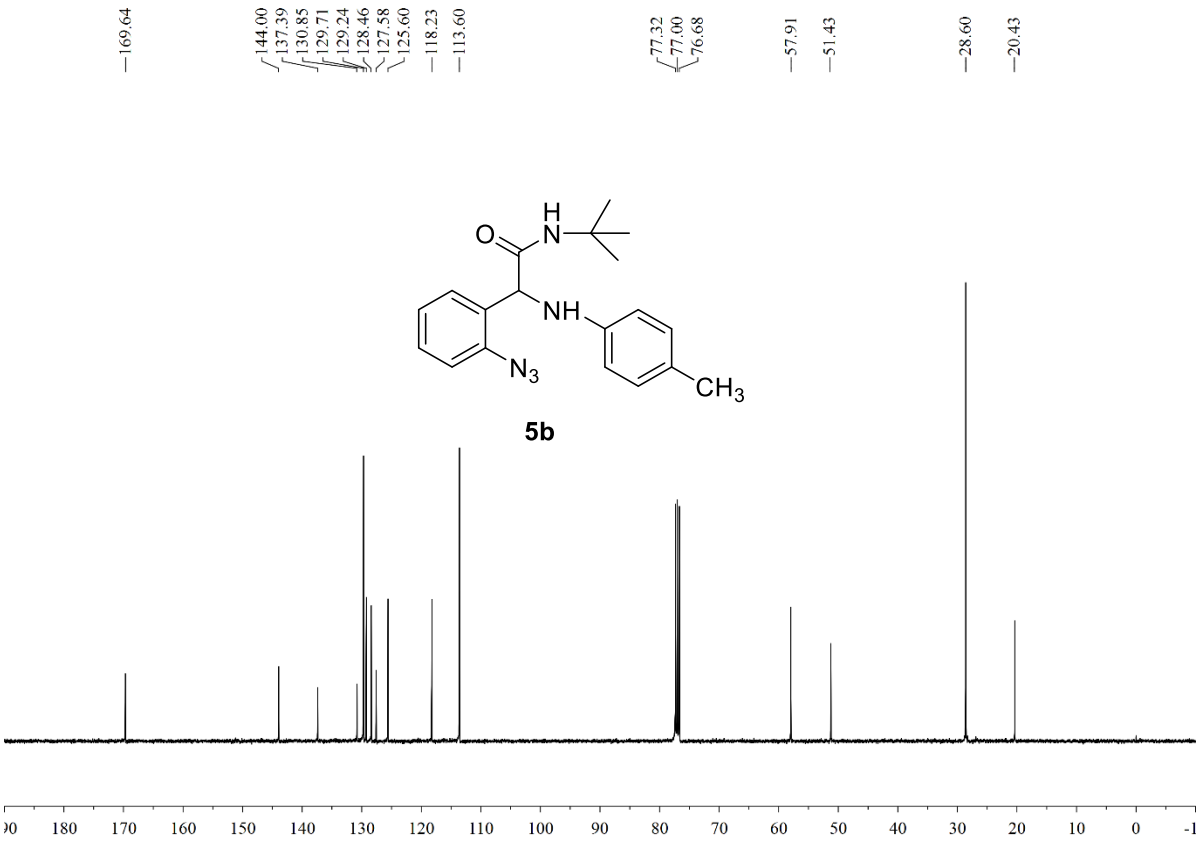
5a

^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5b.



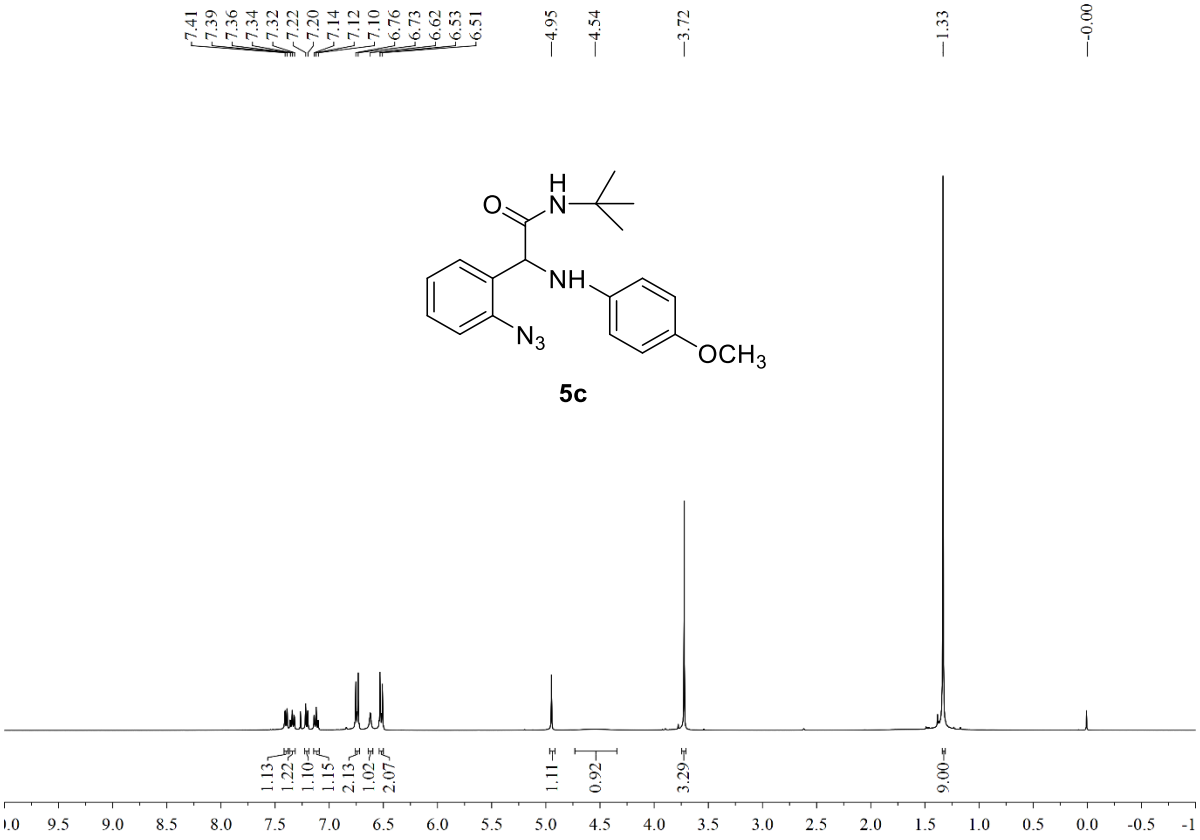
5b

^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5b.

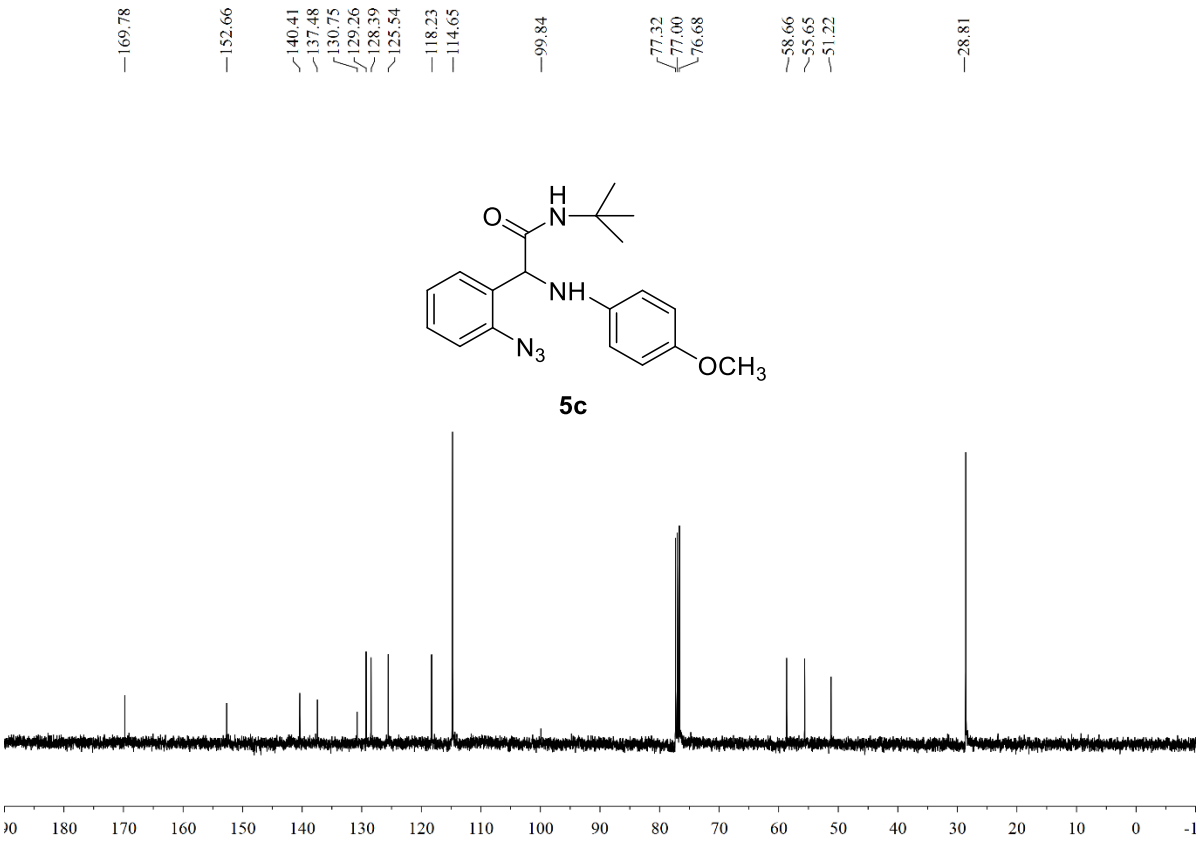


5b

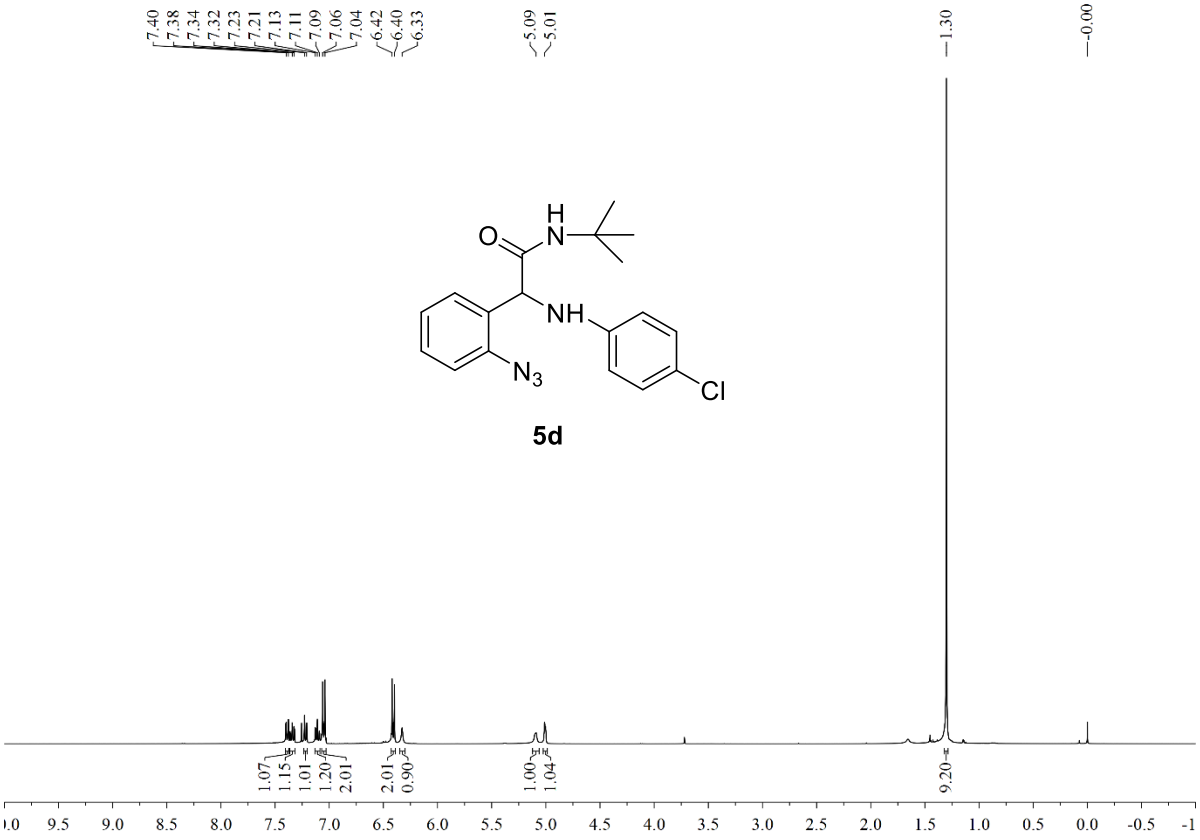
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5c.



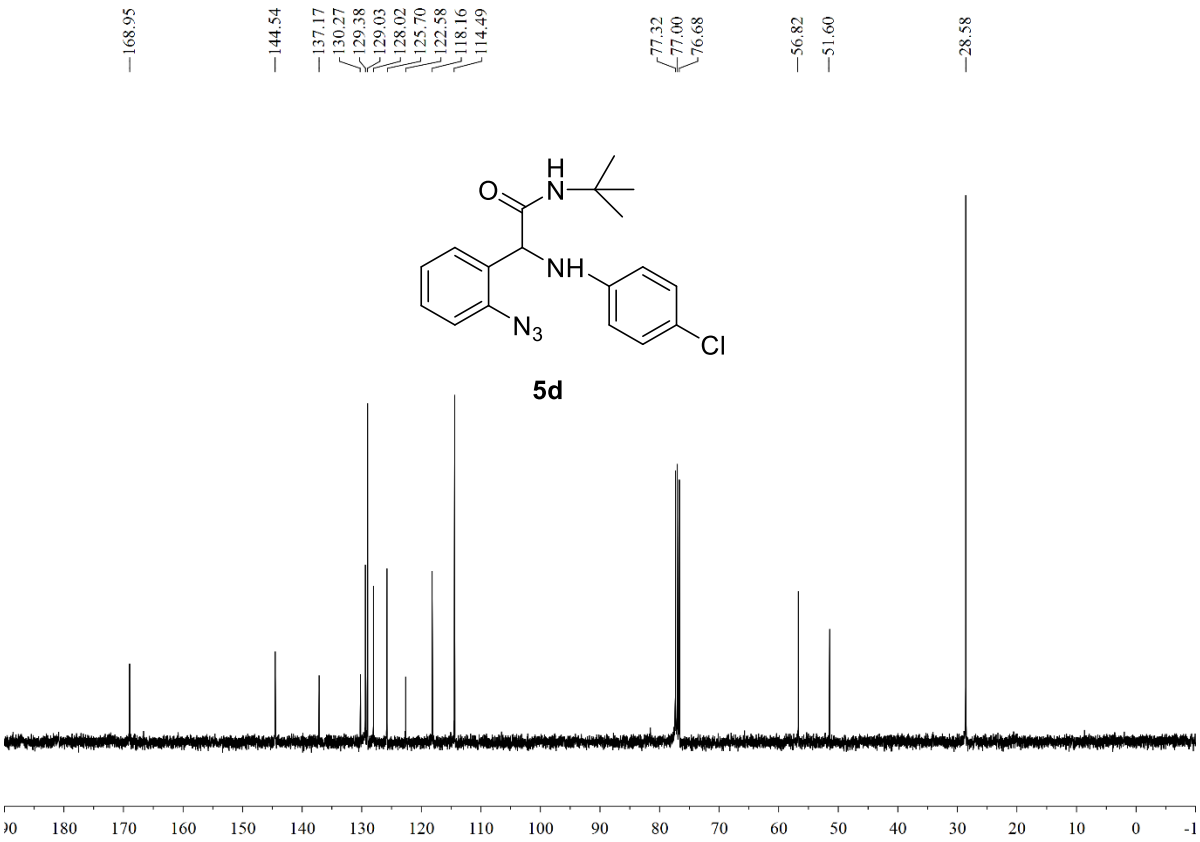
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5c.



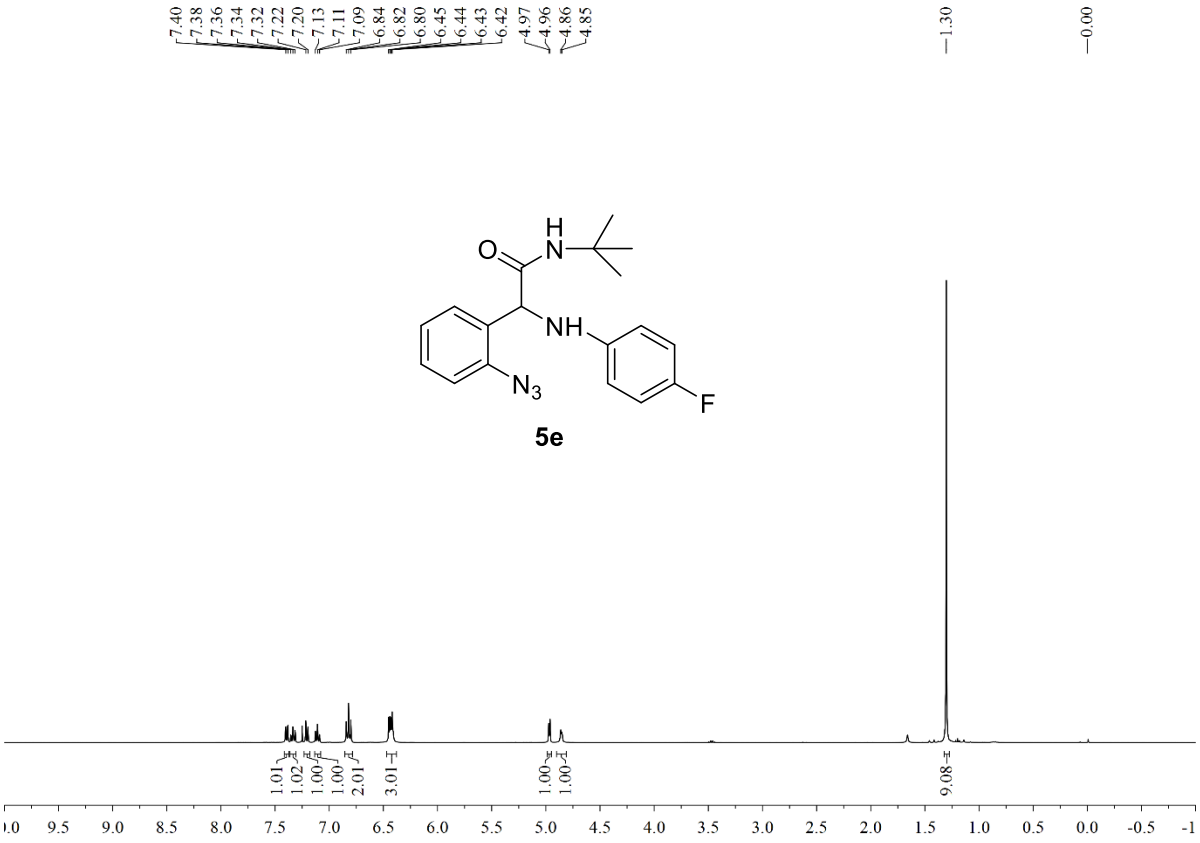
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5d.



^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5d.

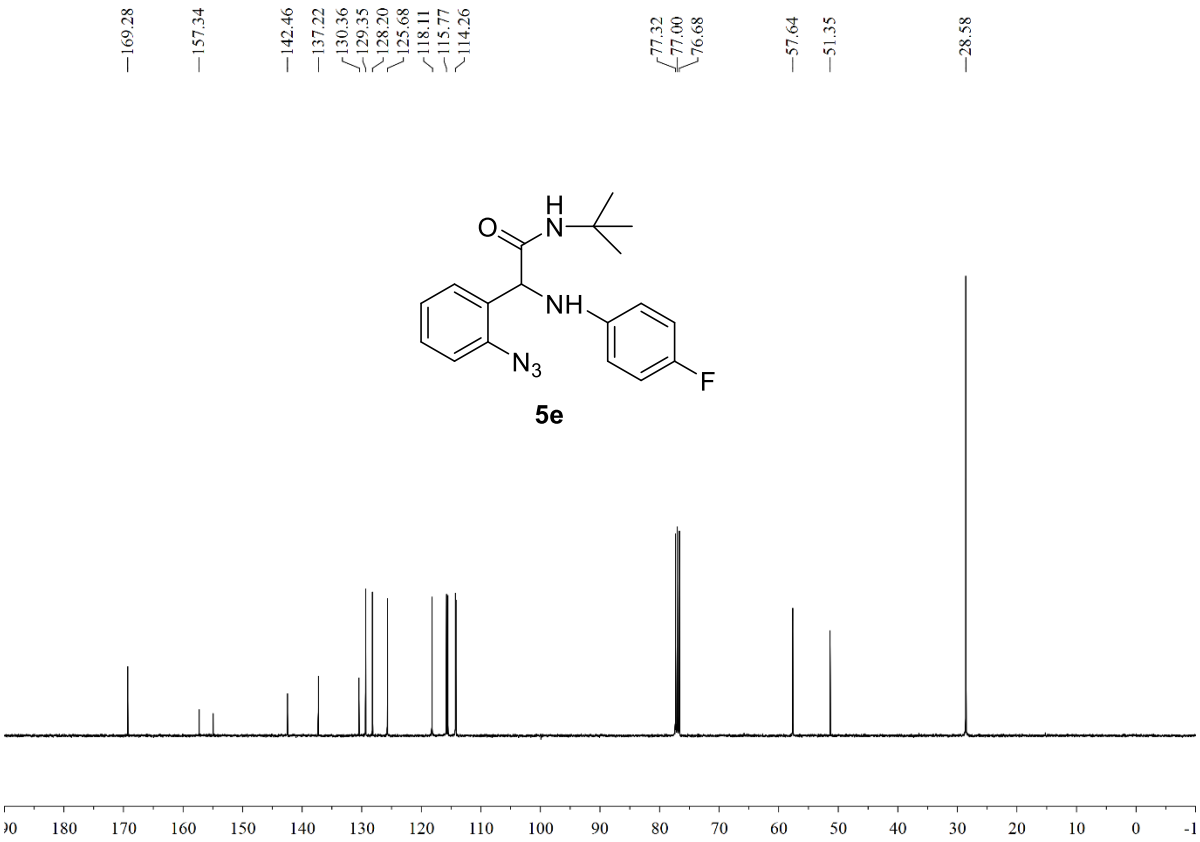


^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5e.



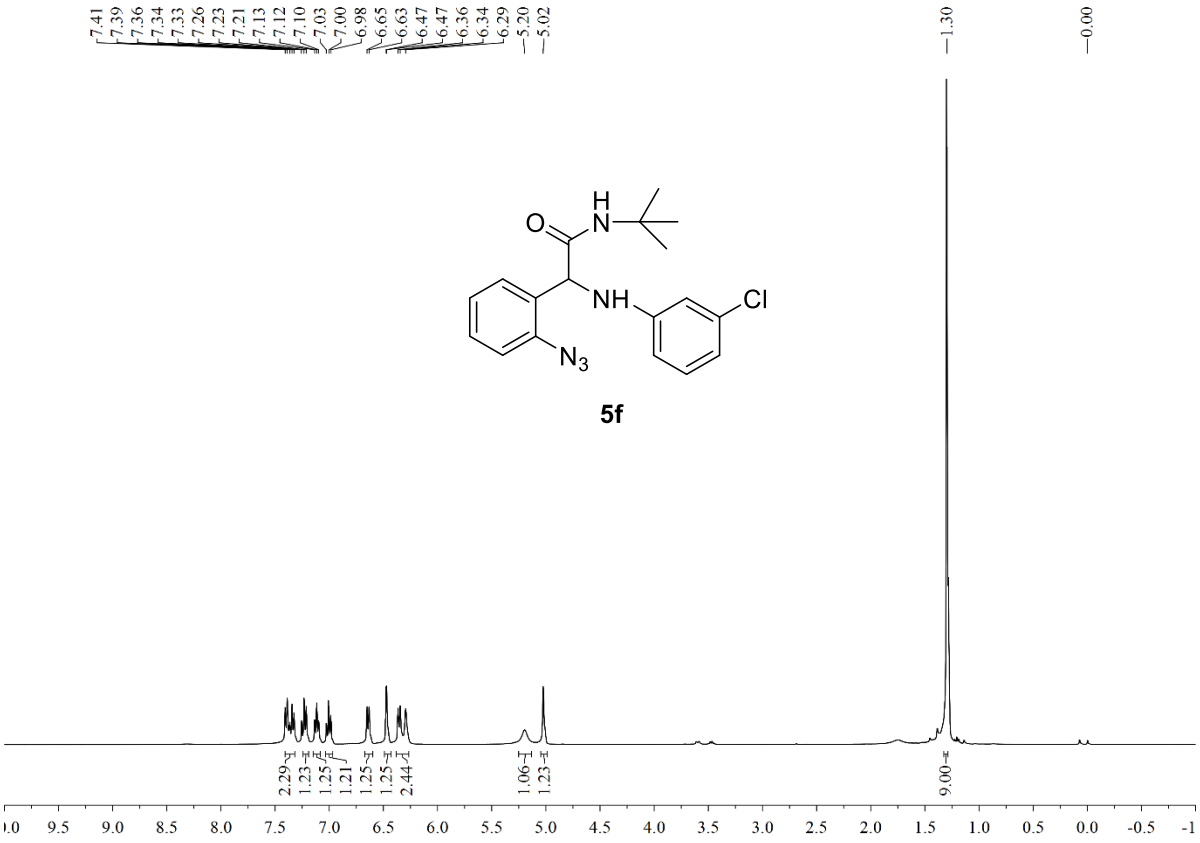
5e

^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5e.

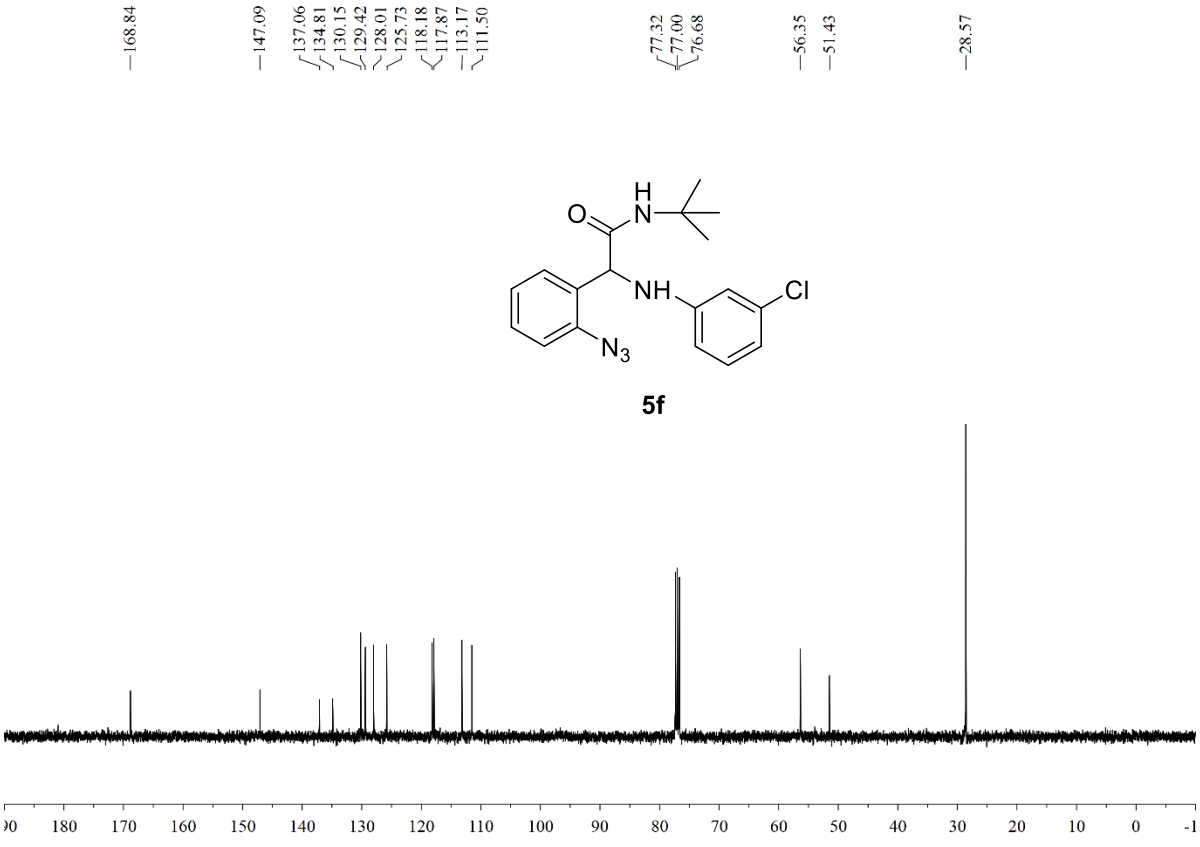


5e

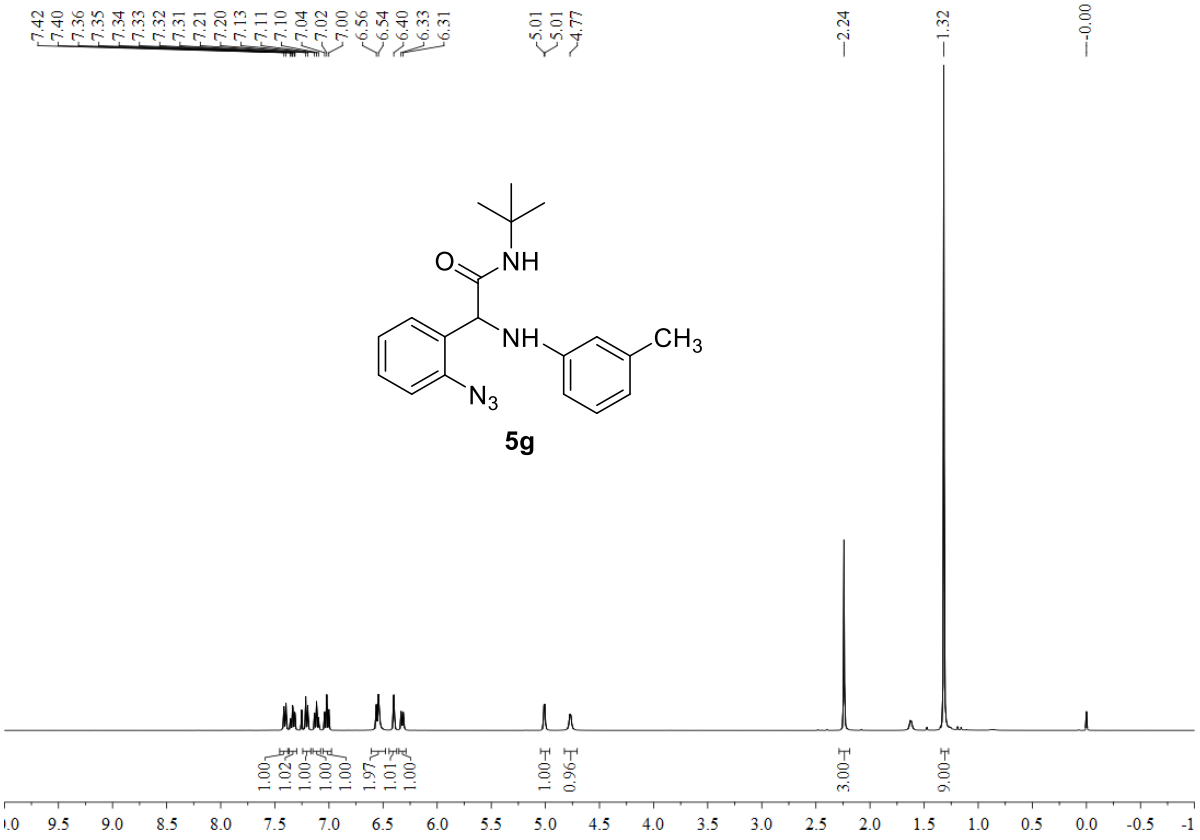
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5f.



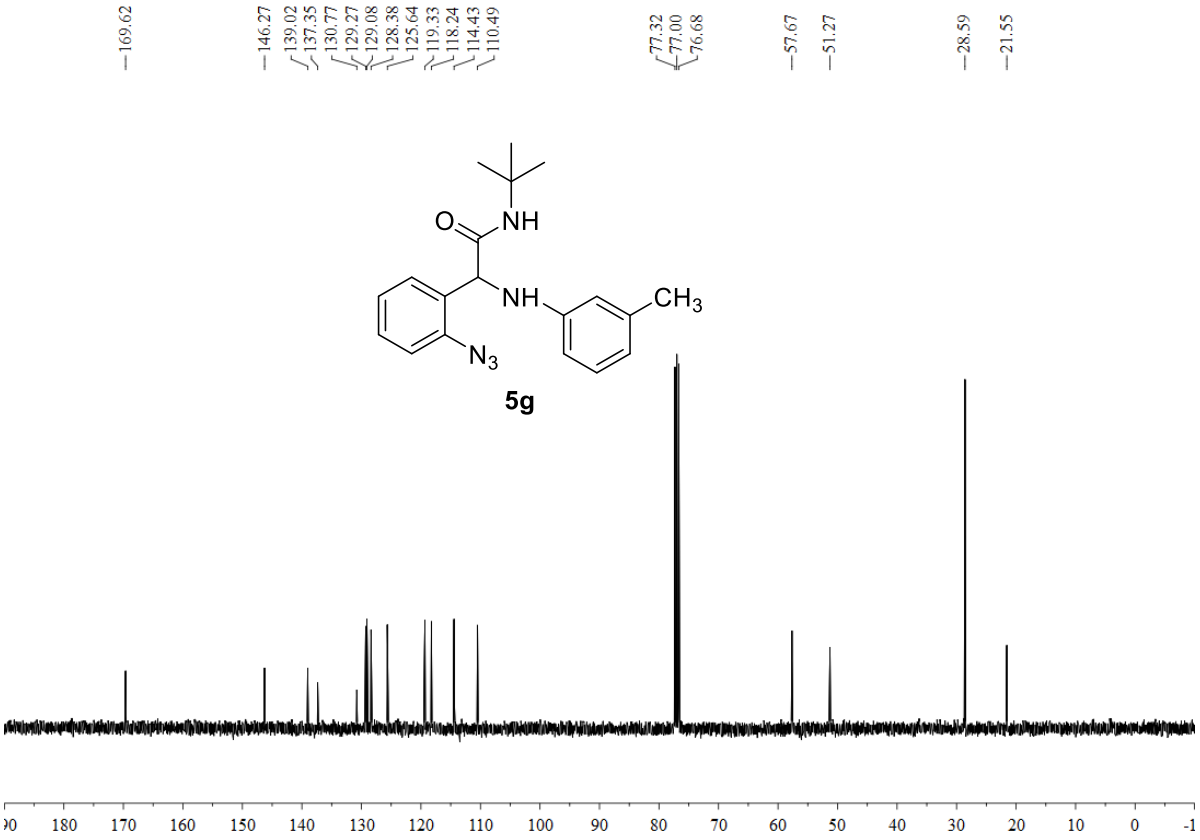
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5f.



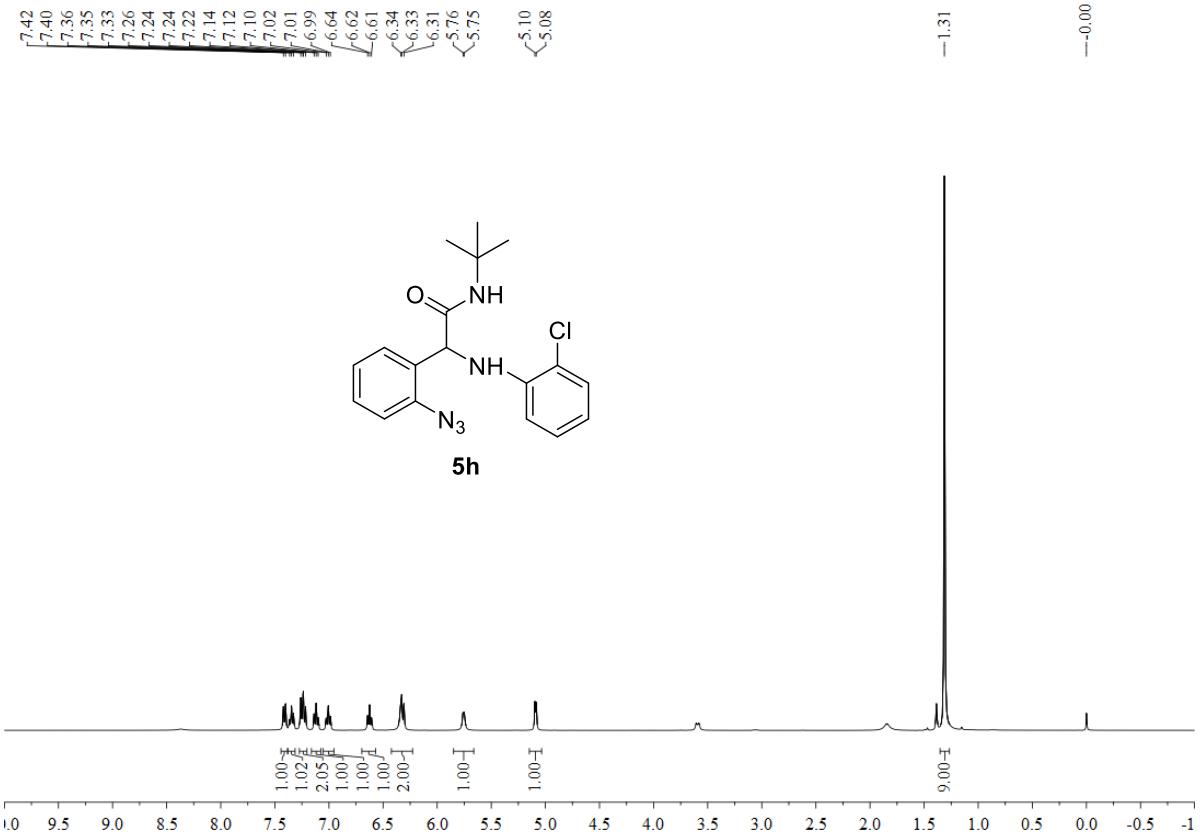
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5g.



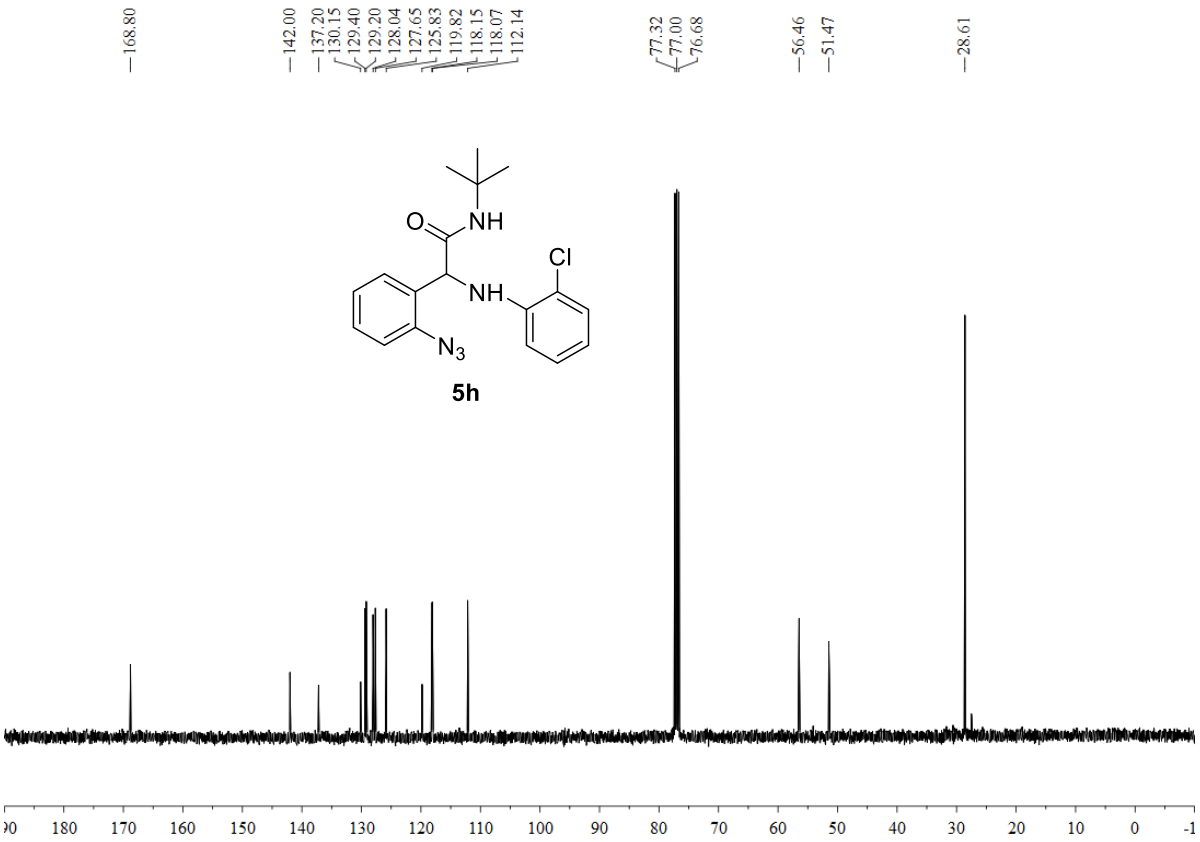
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5g.



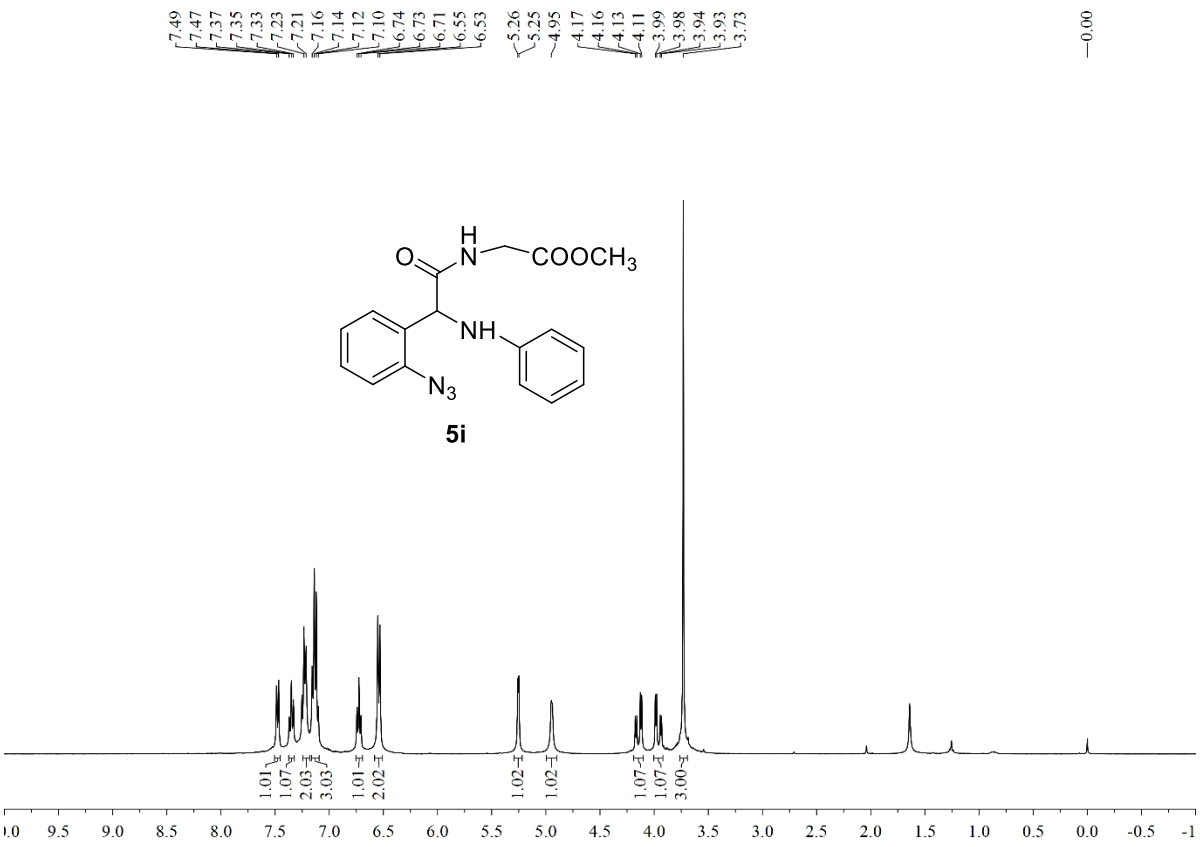
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5h.



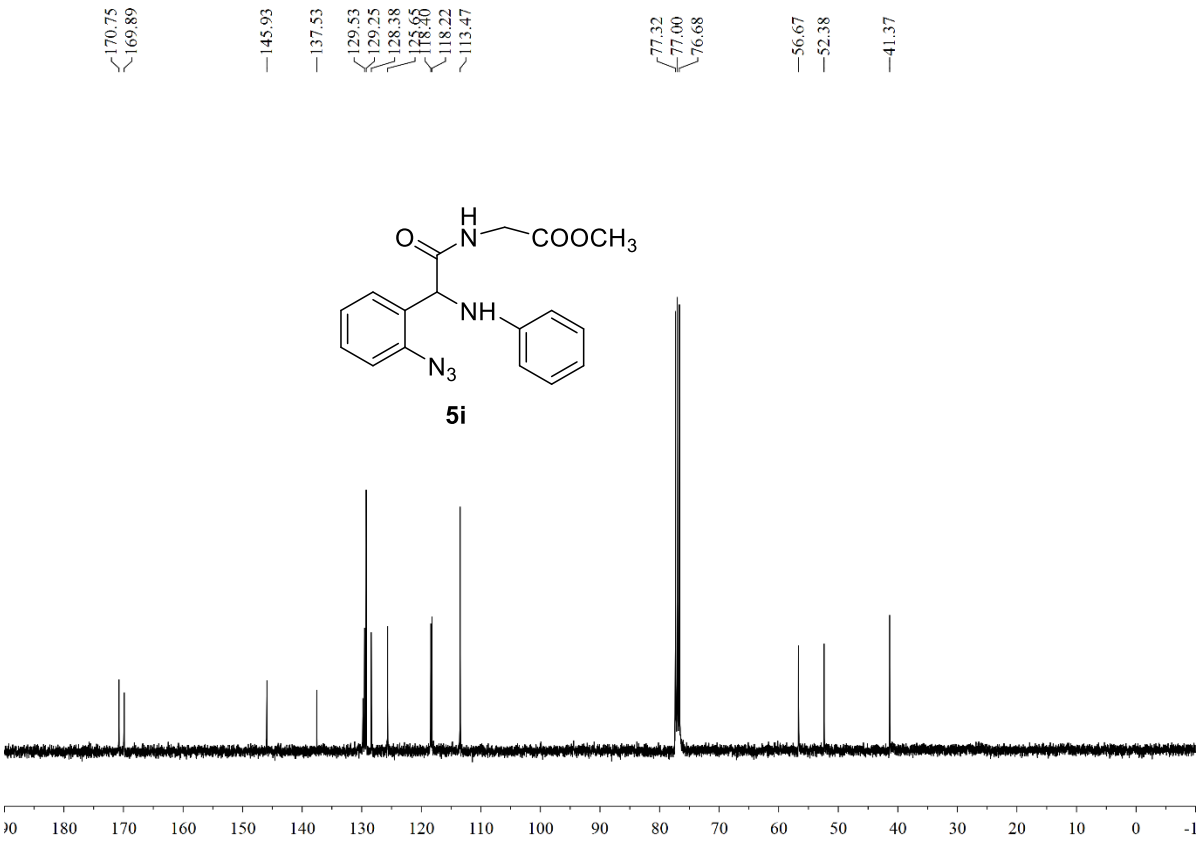
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5h.



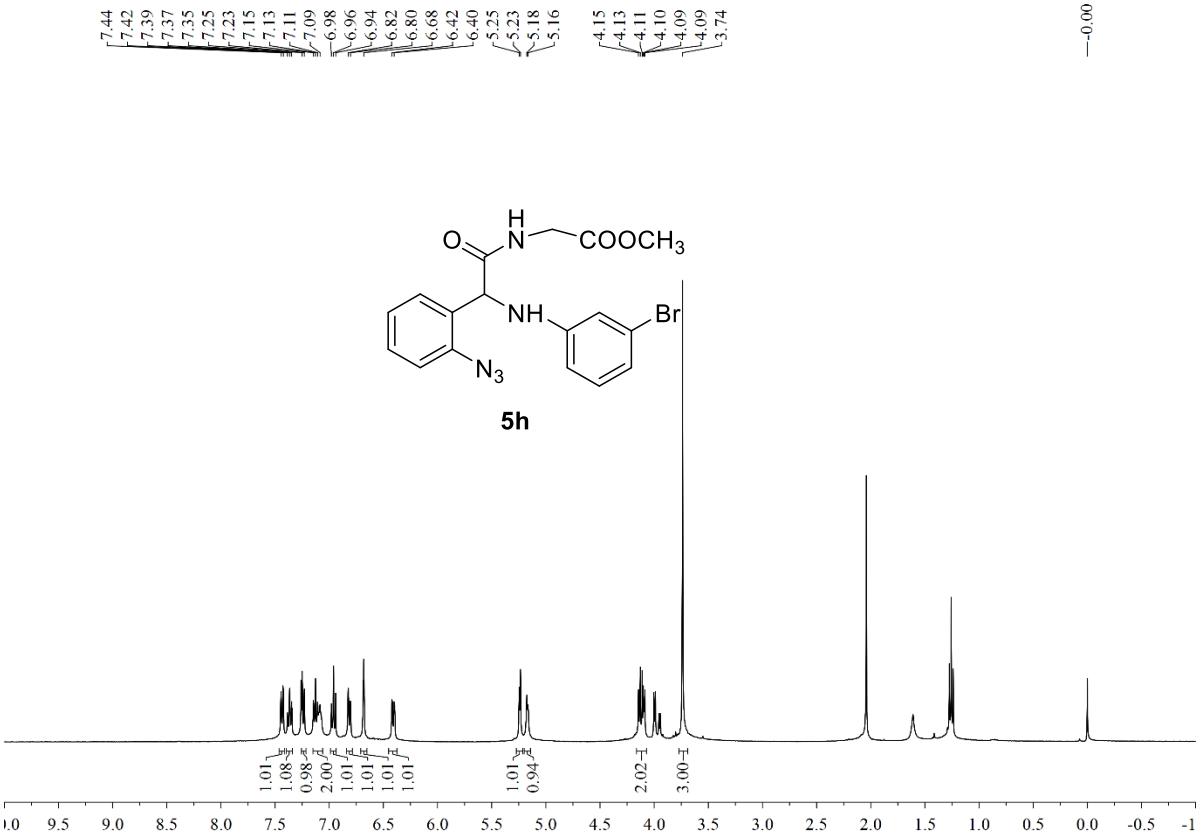
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5i.



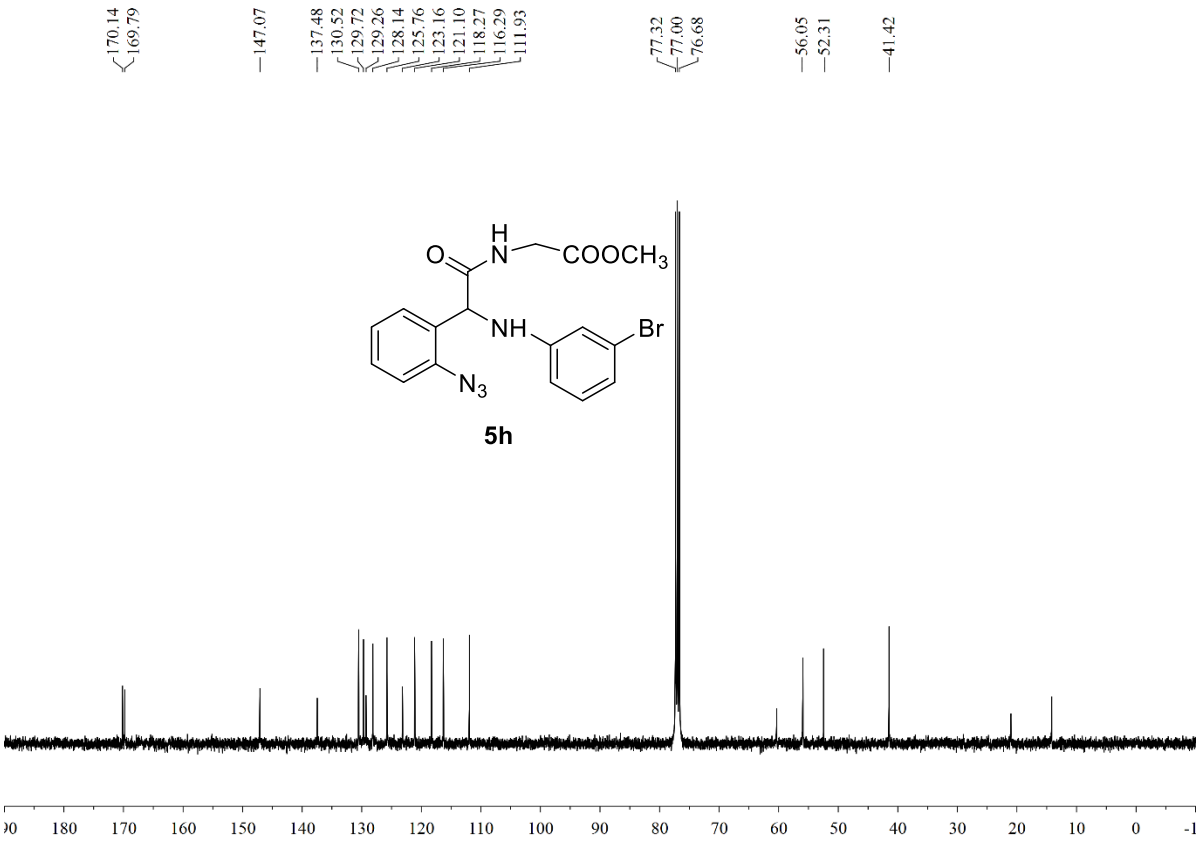
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5i.



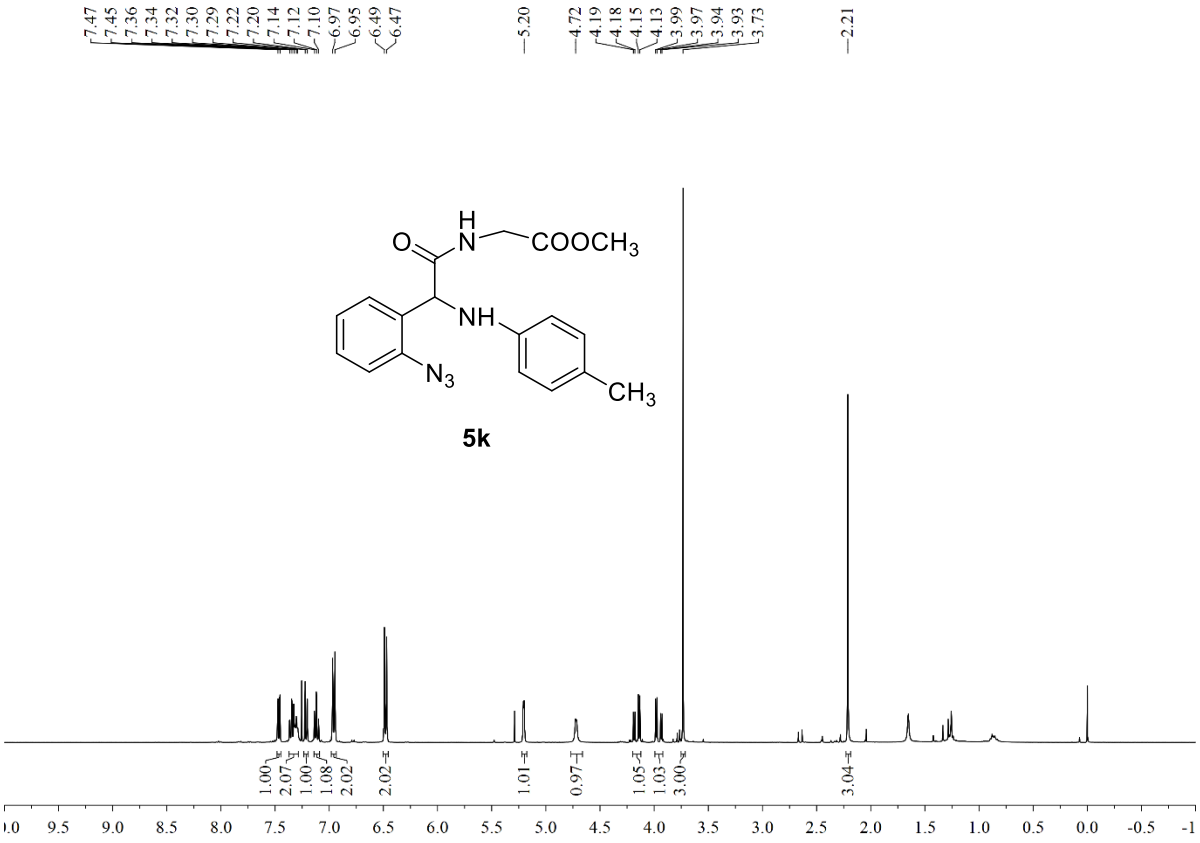
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5j.



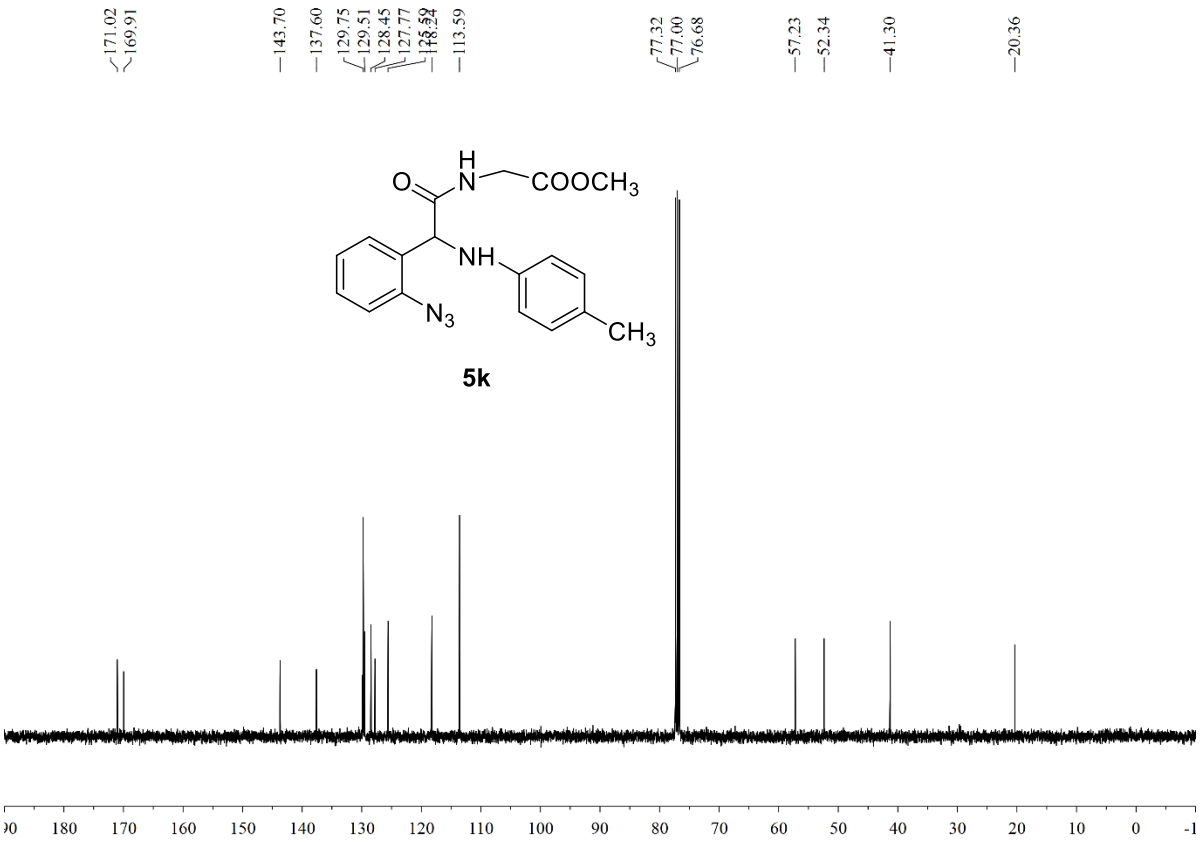
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5j.



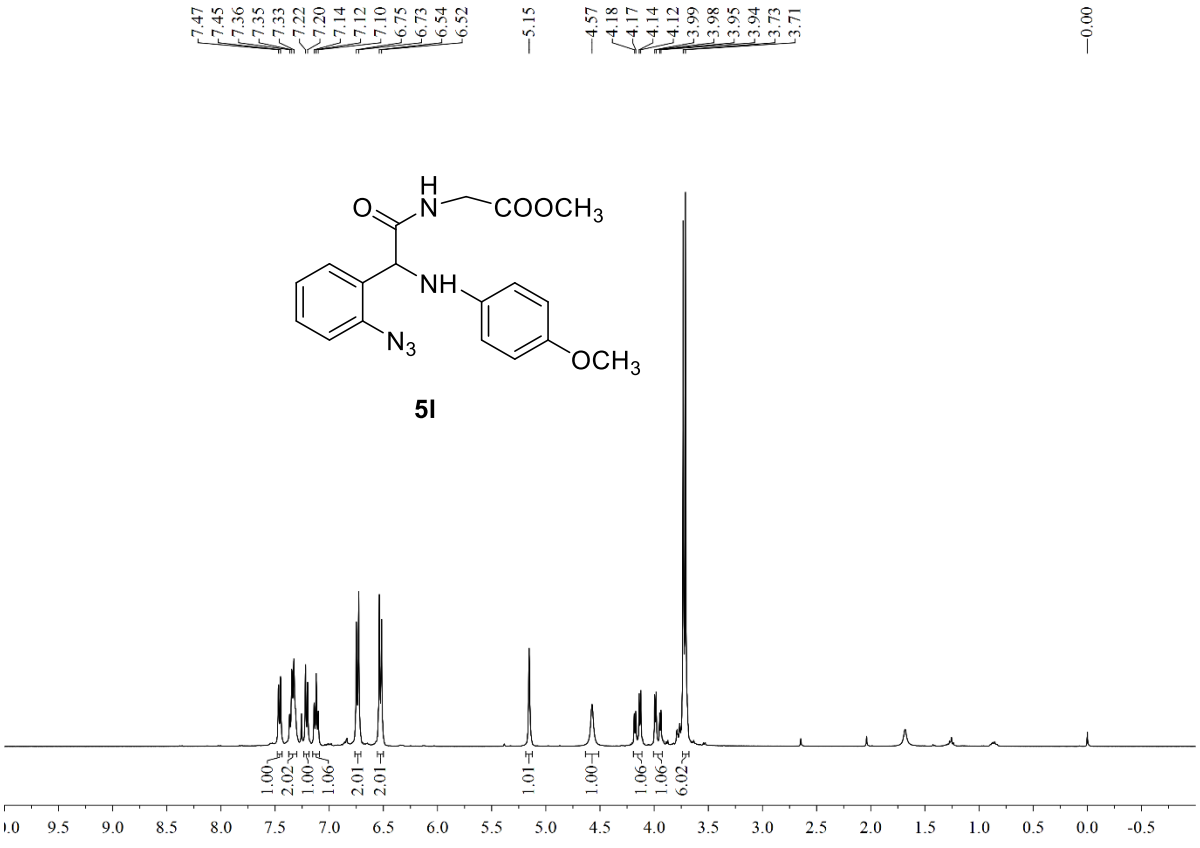
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5k.



^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5k.



^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5l.



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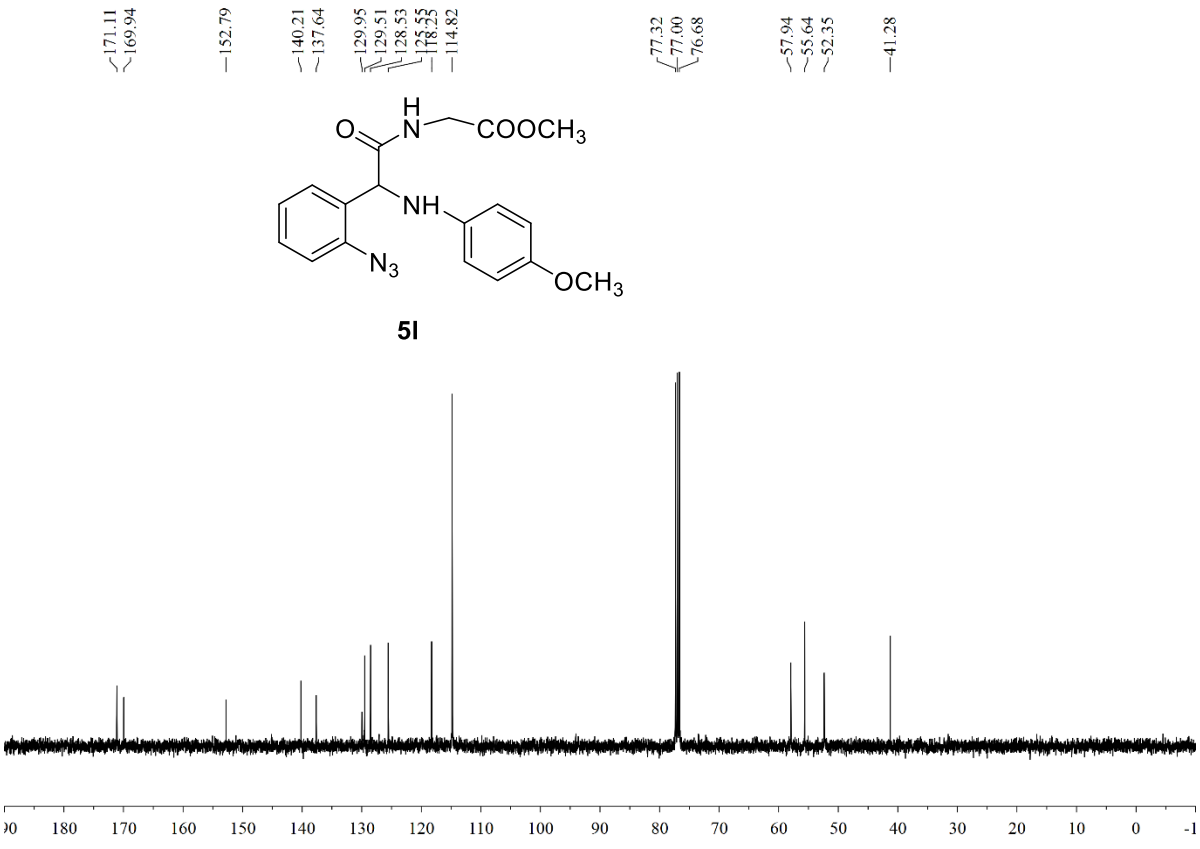
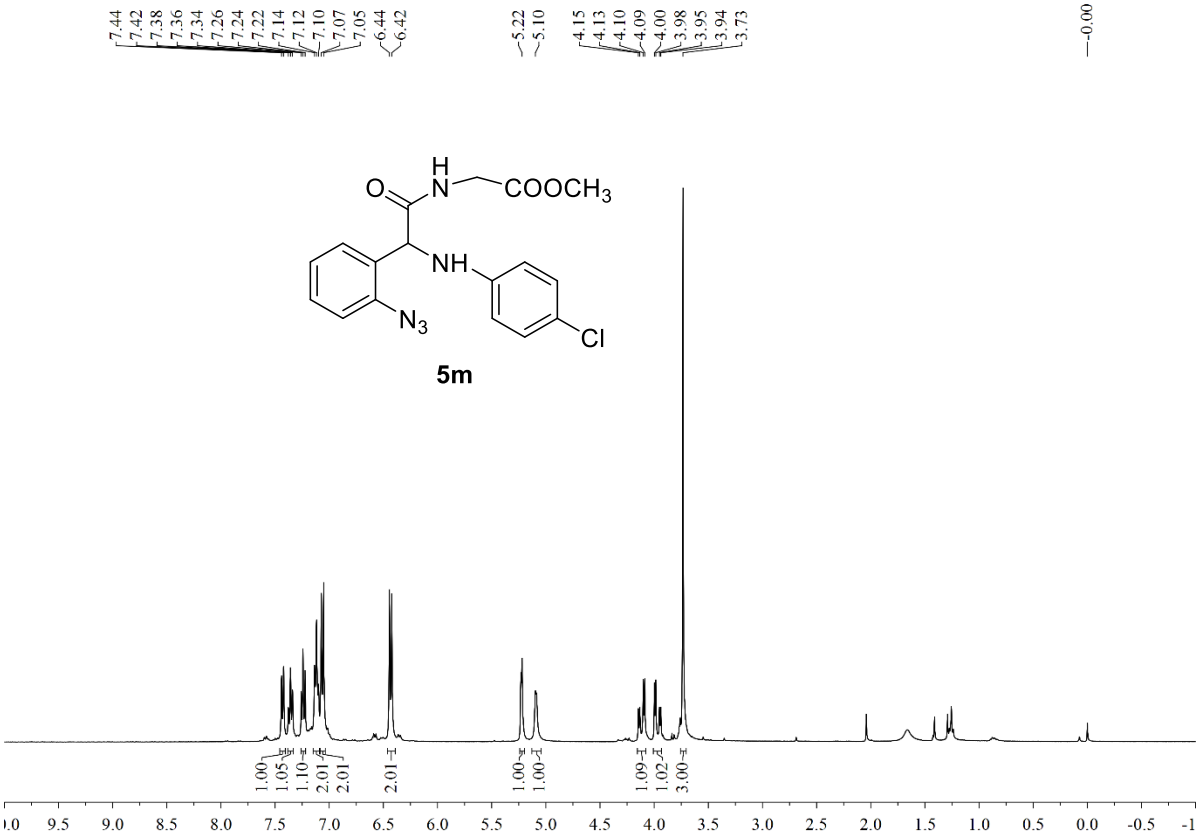
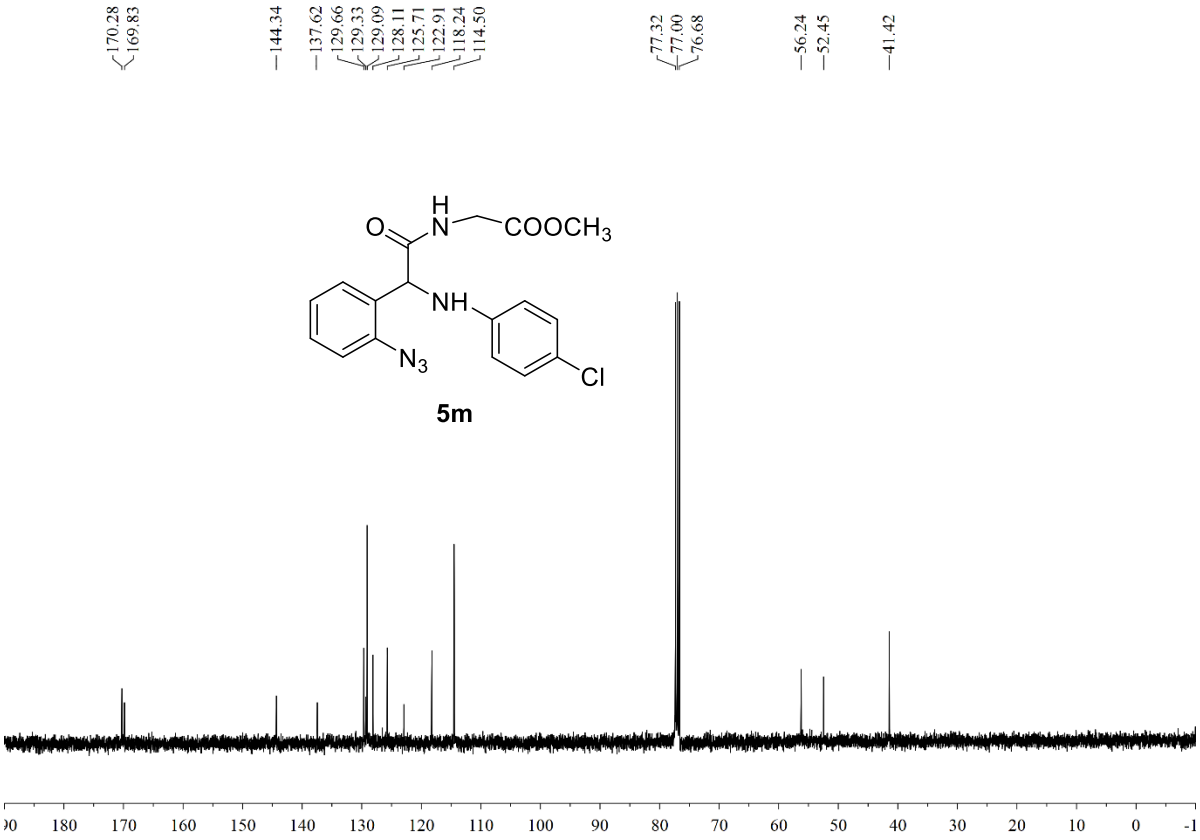


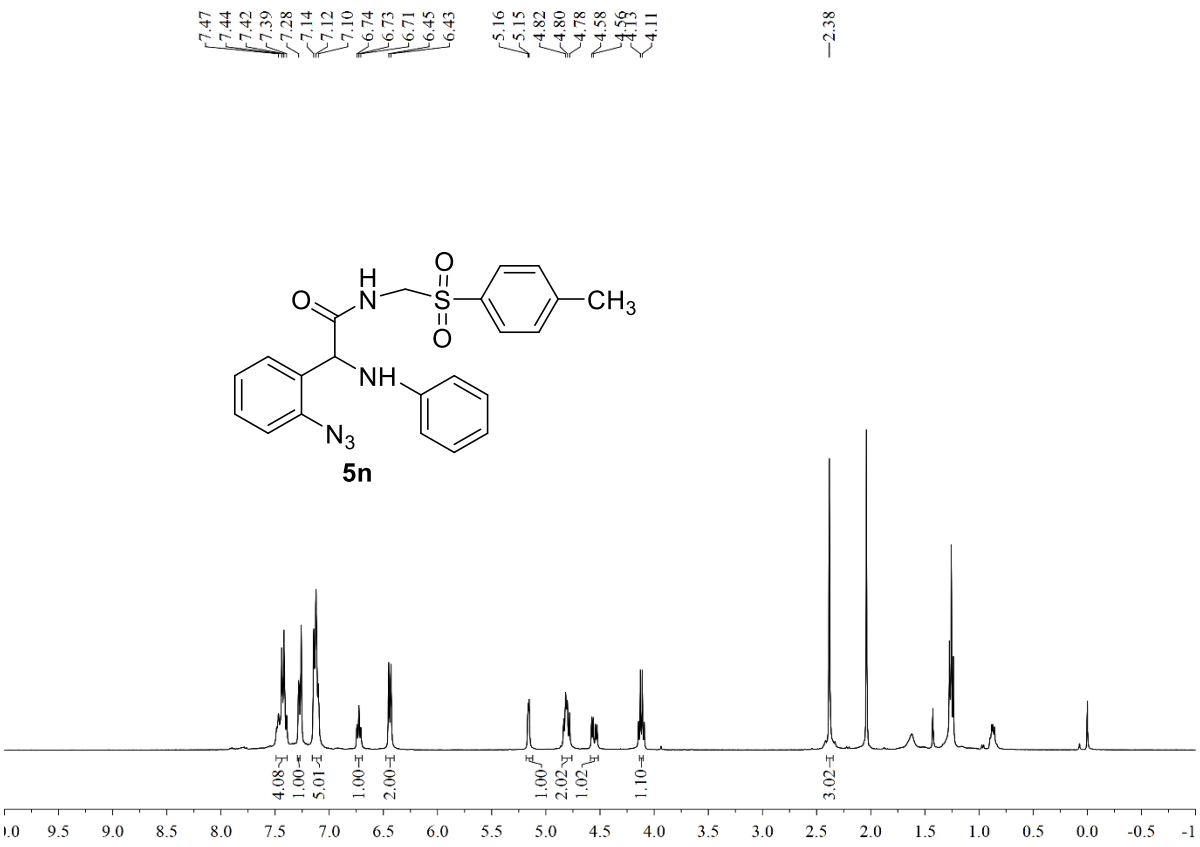
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5m.



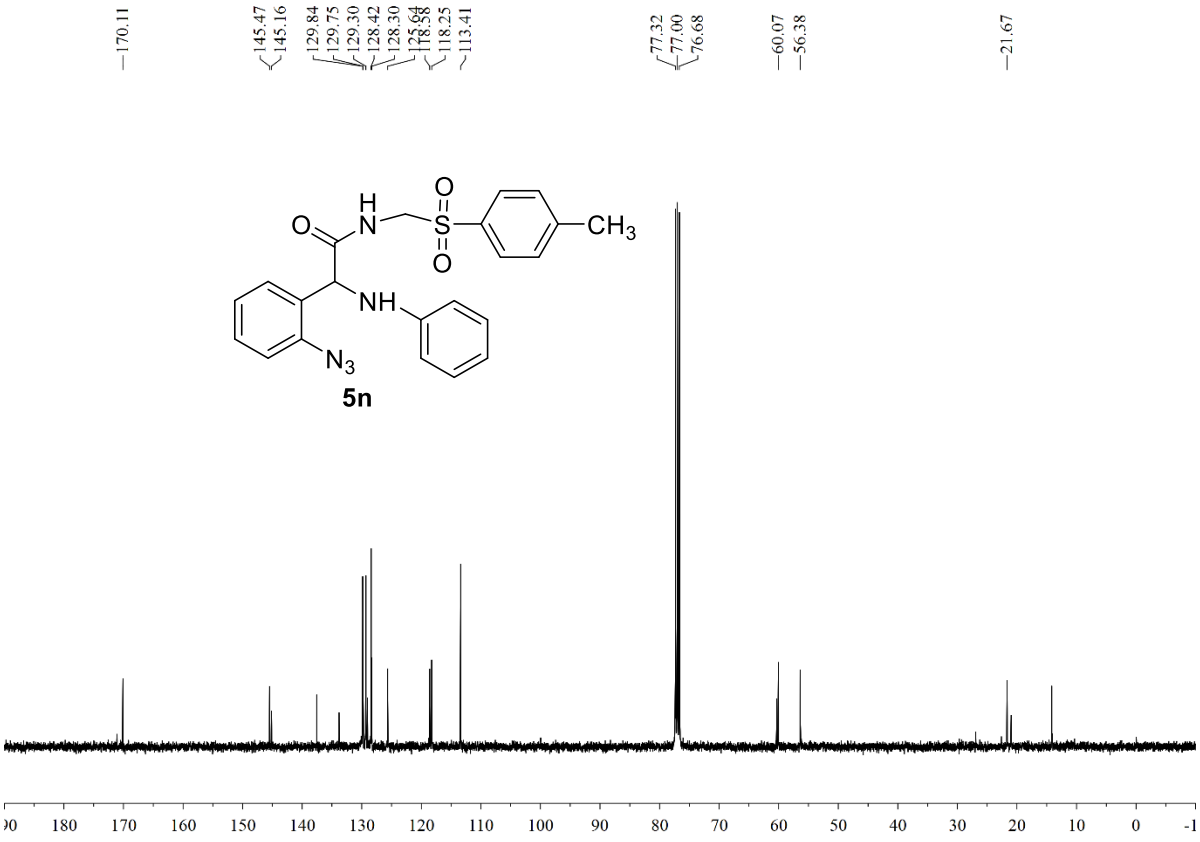
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5m.



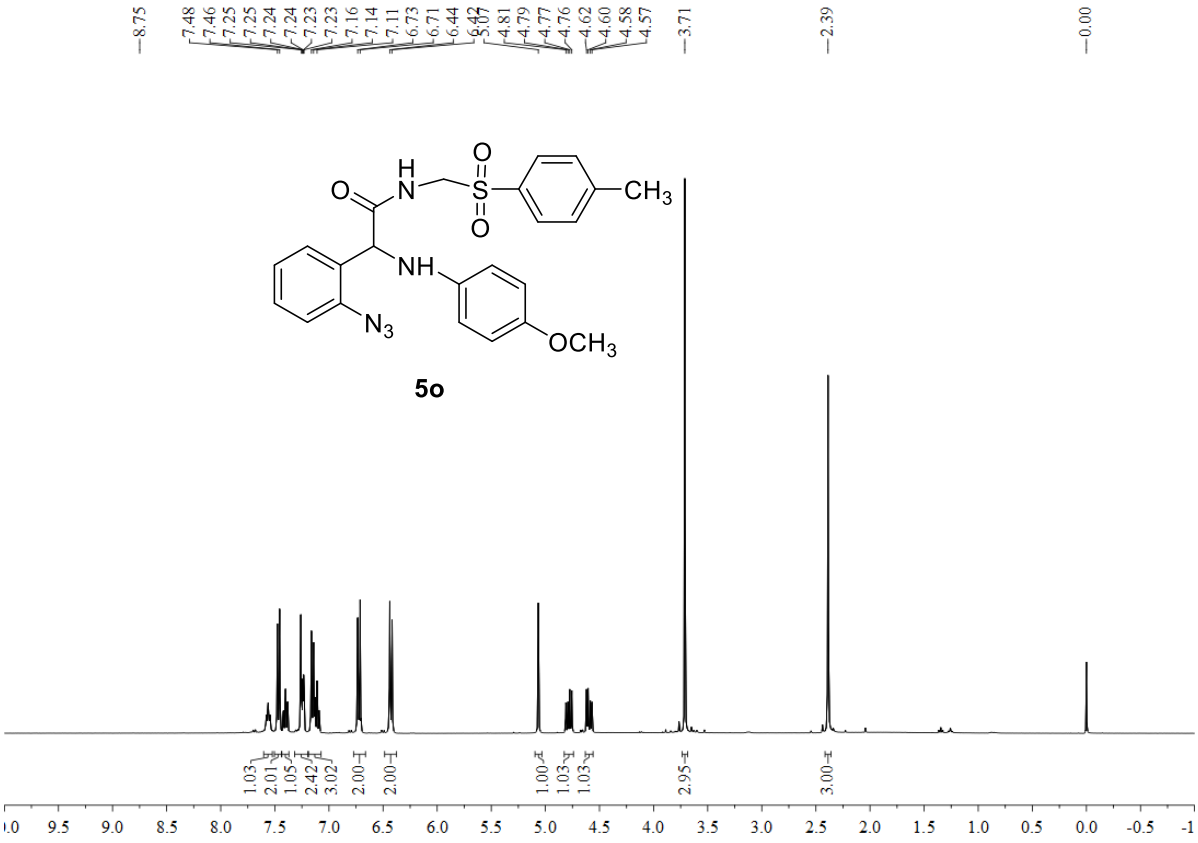
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5n.



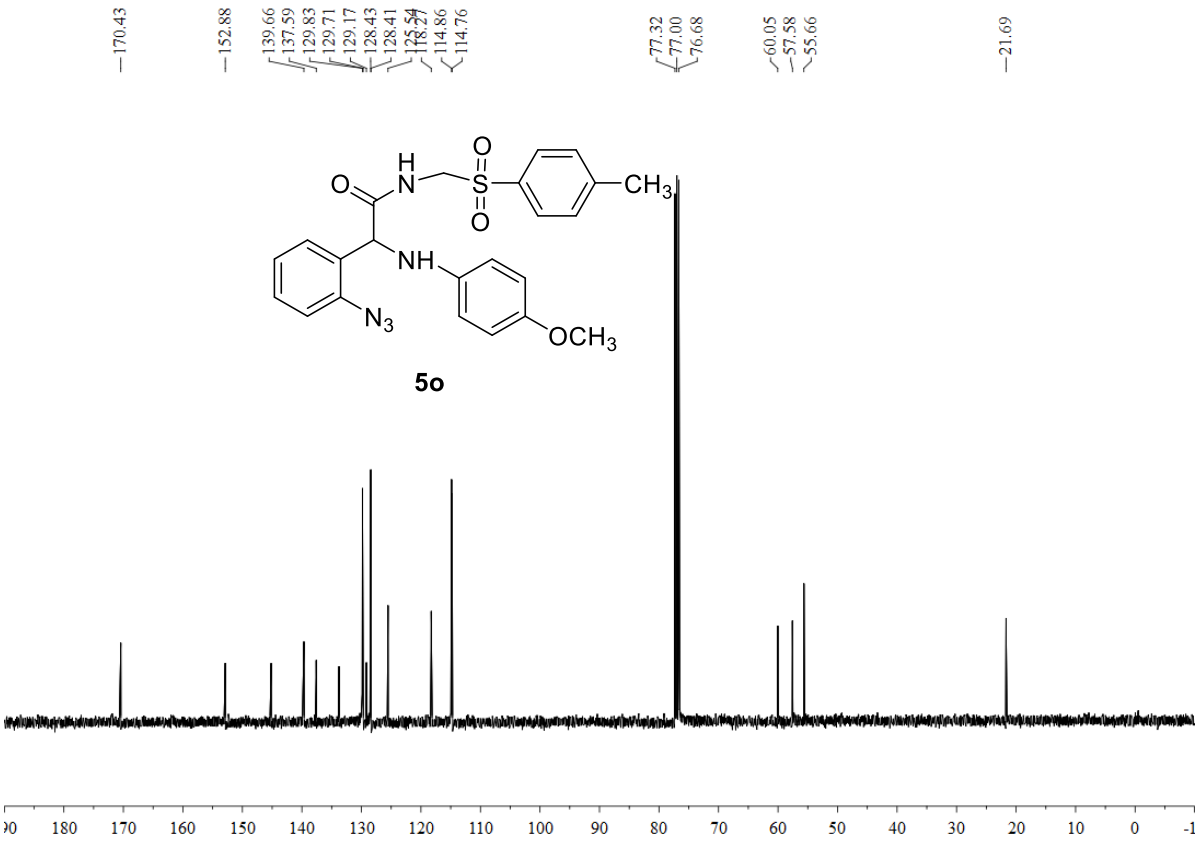
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5n.



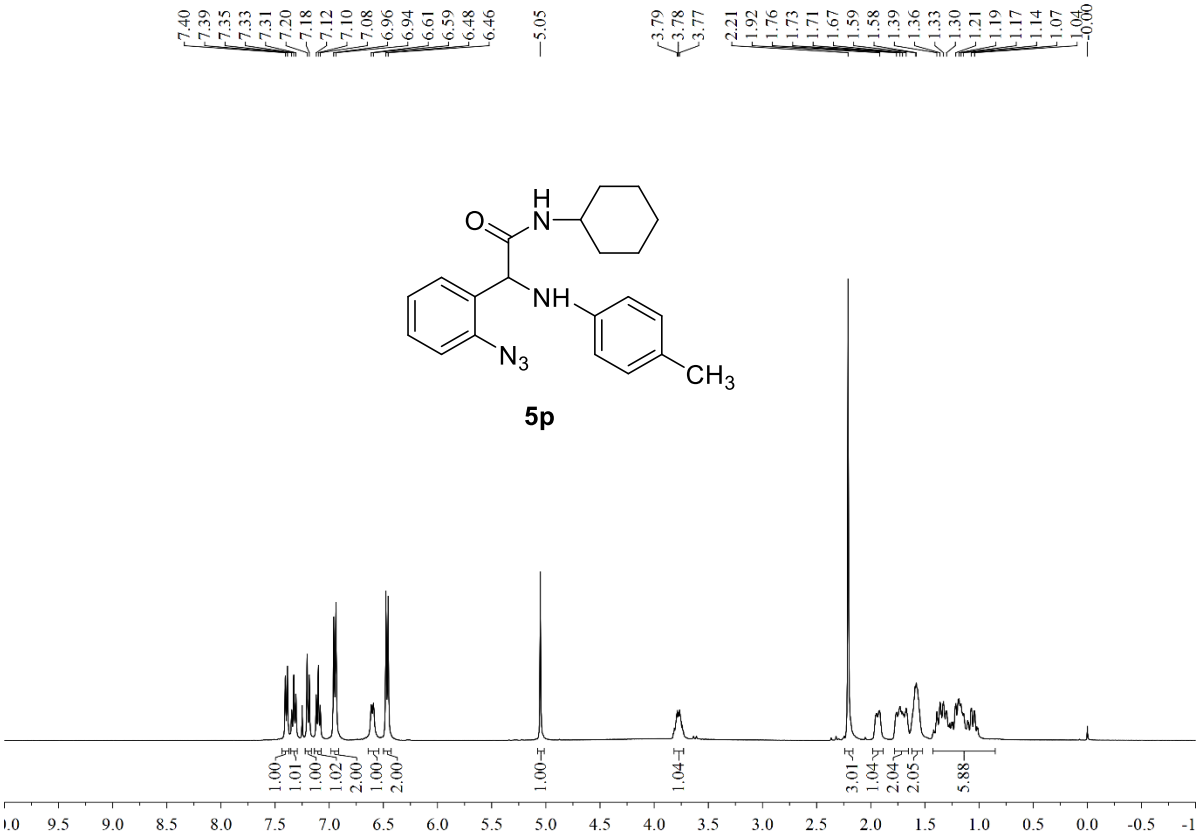
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5o.



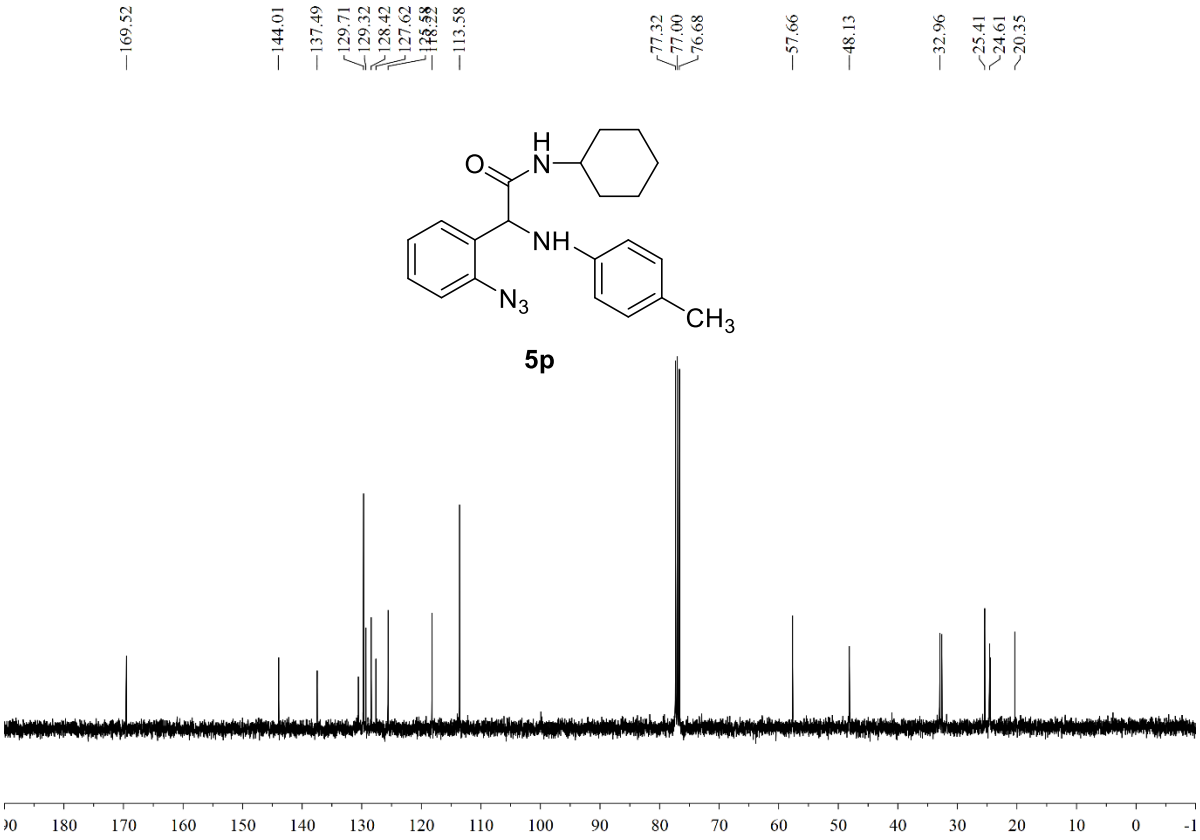
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5o.



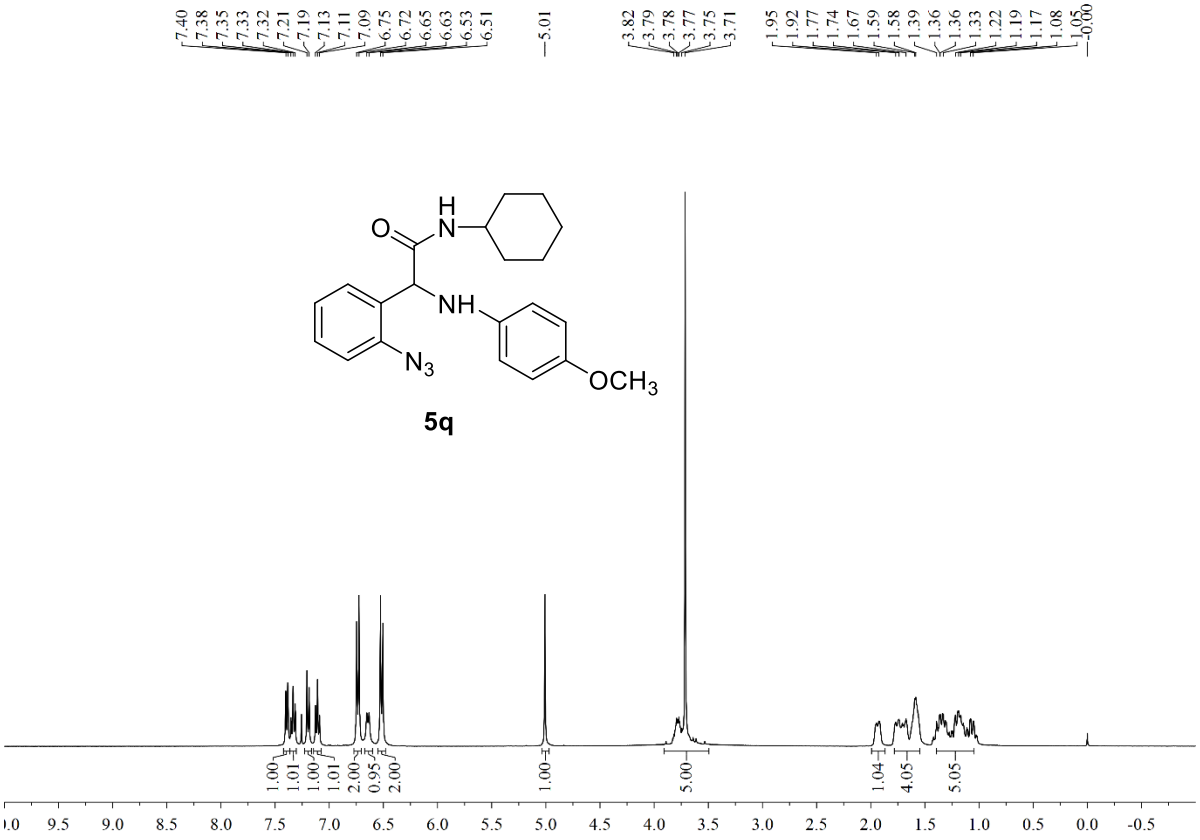
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5p.



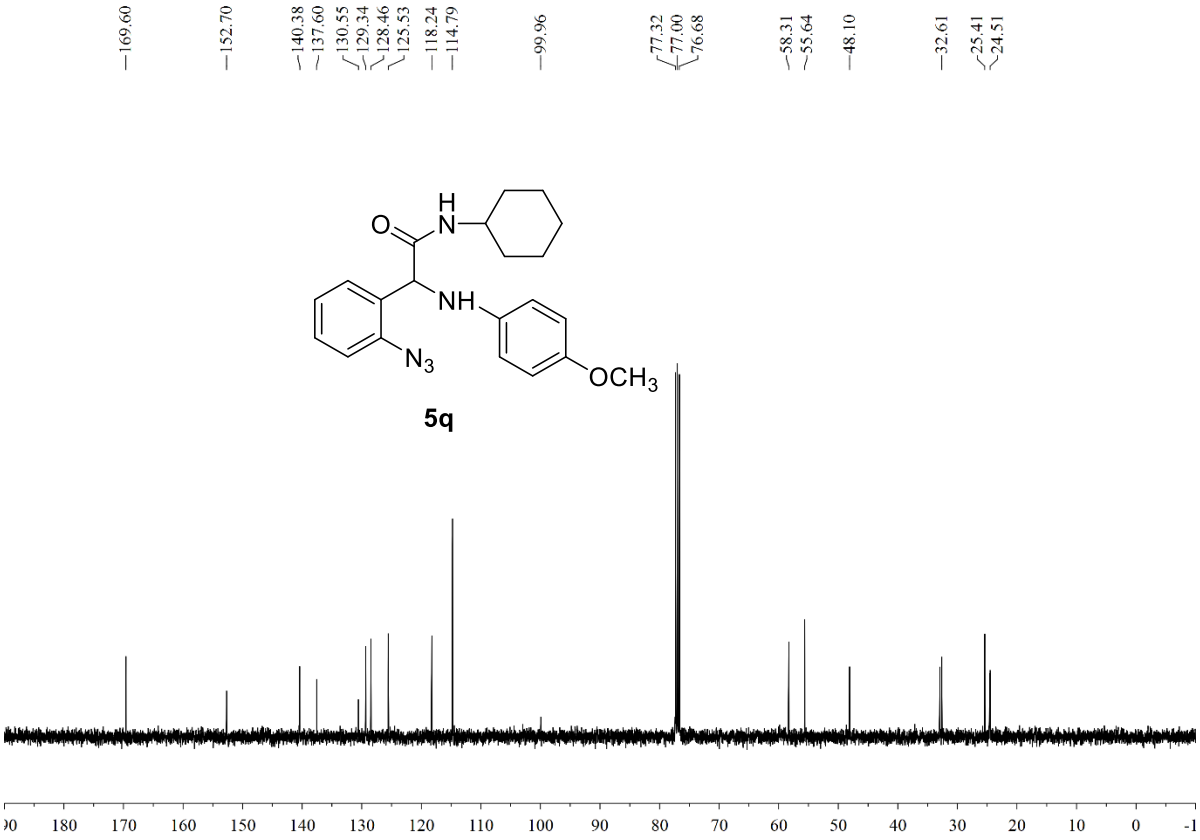
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5p.



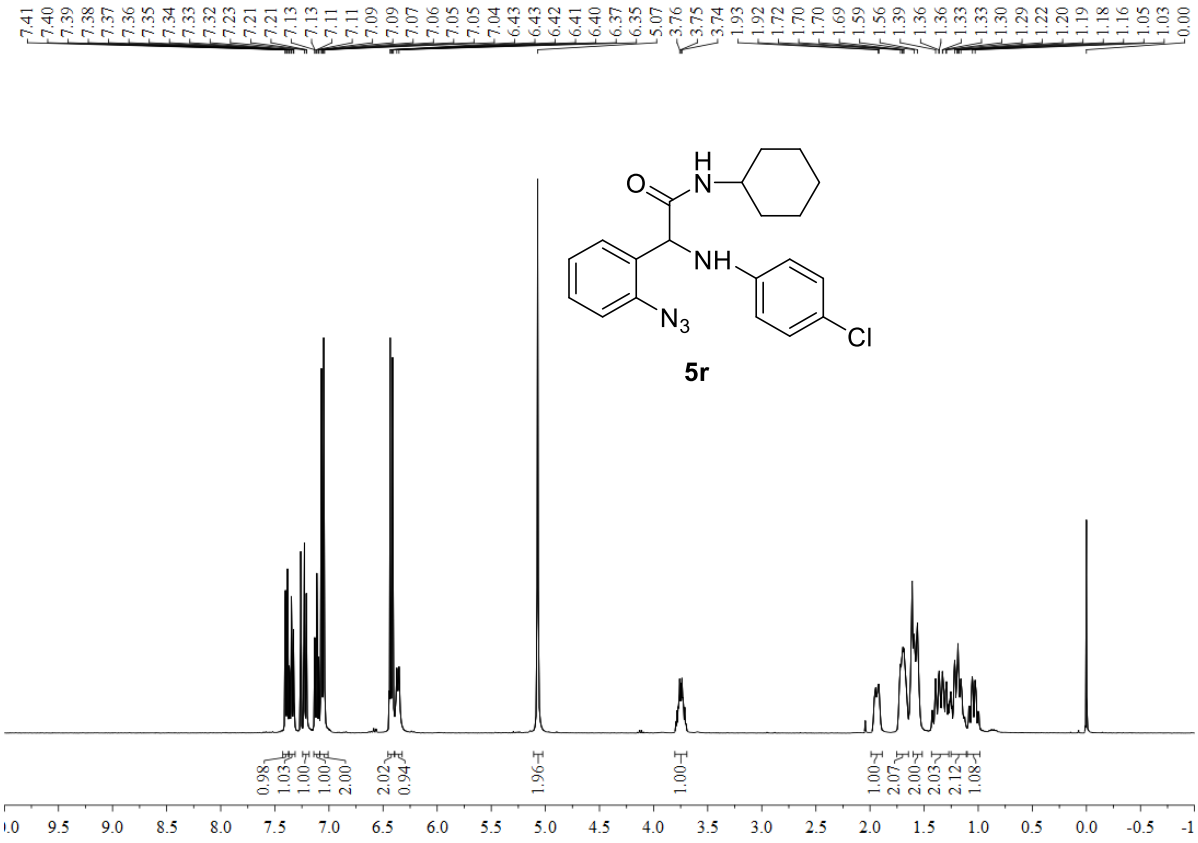
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5q.



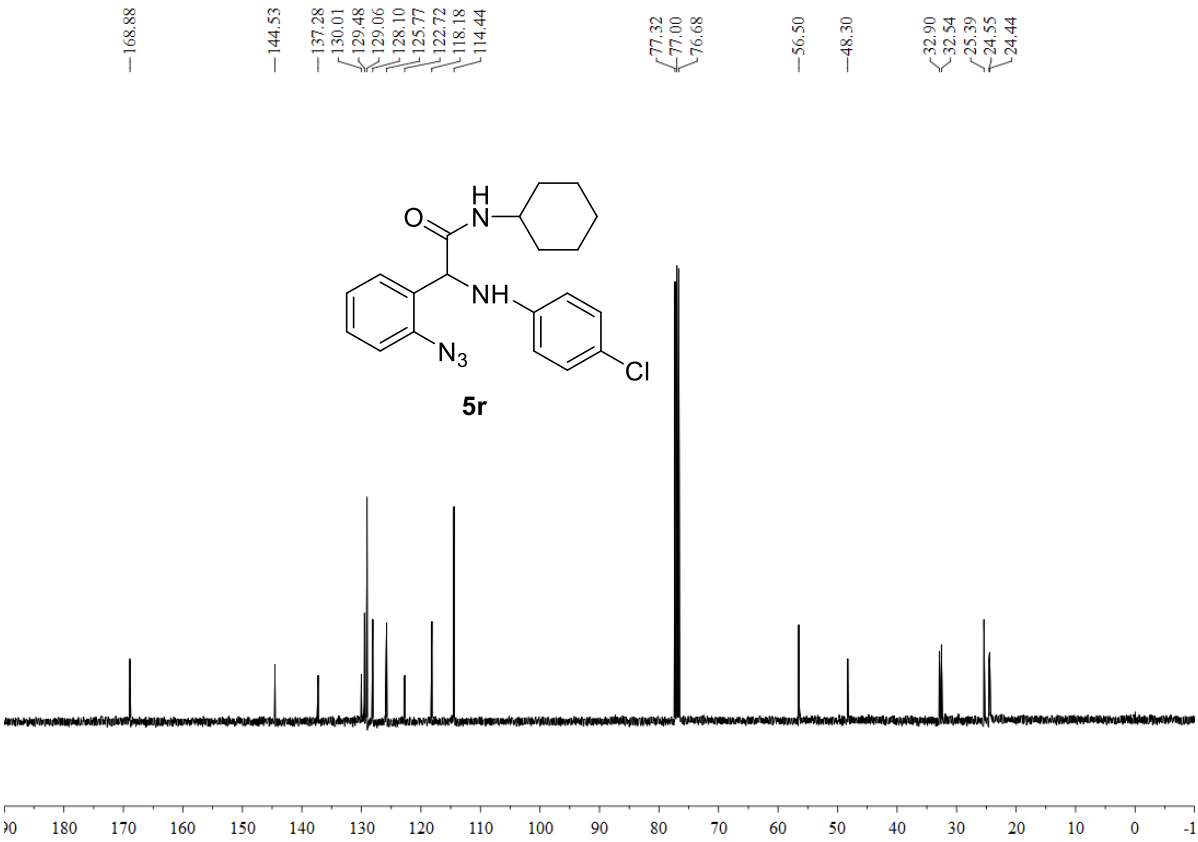
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5q.



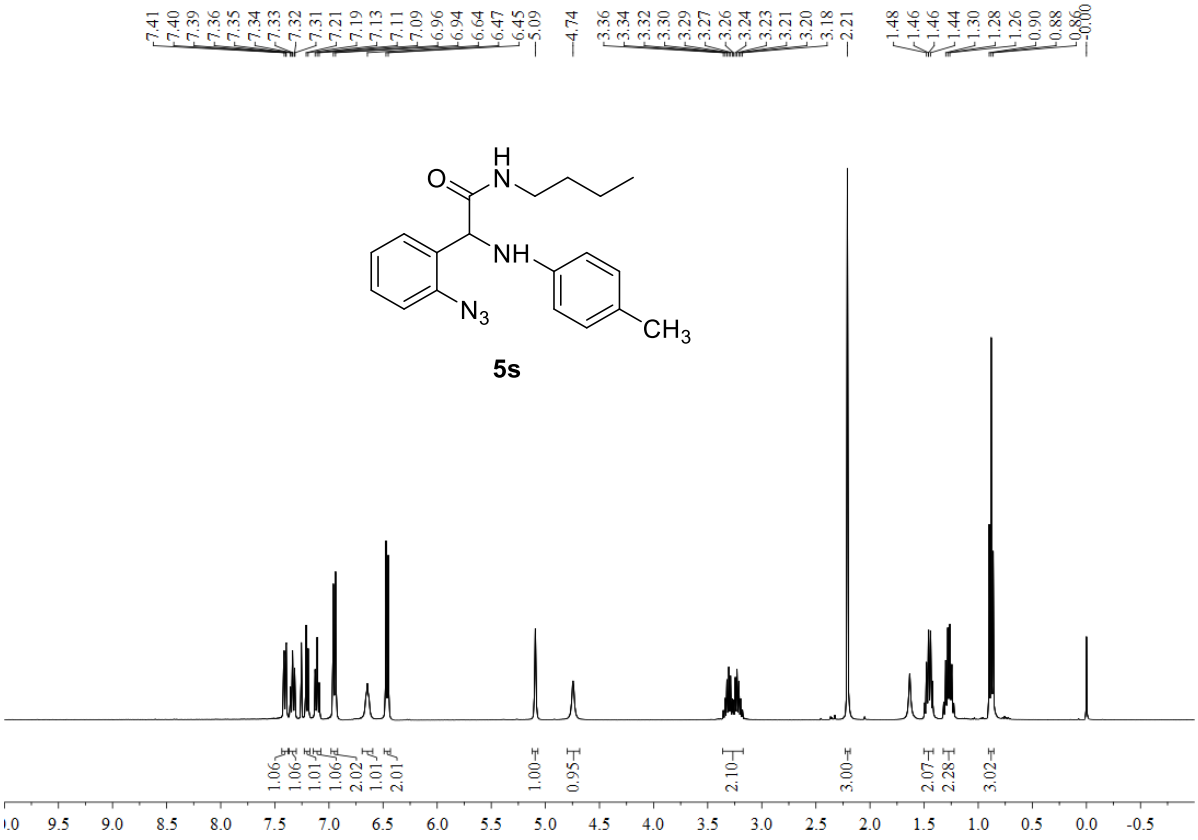
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5r.



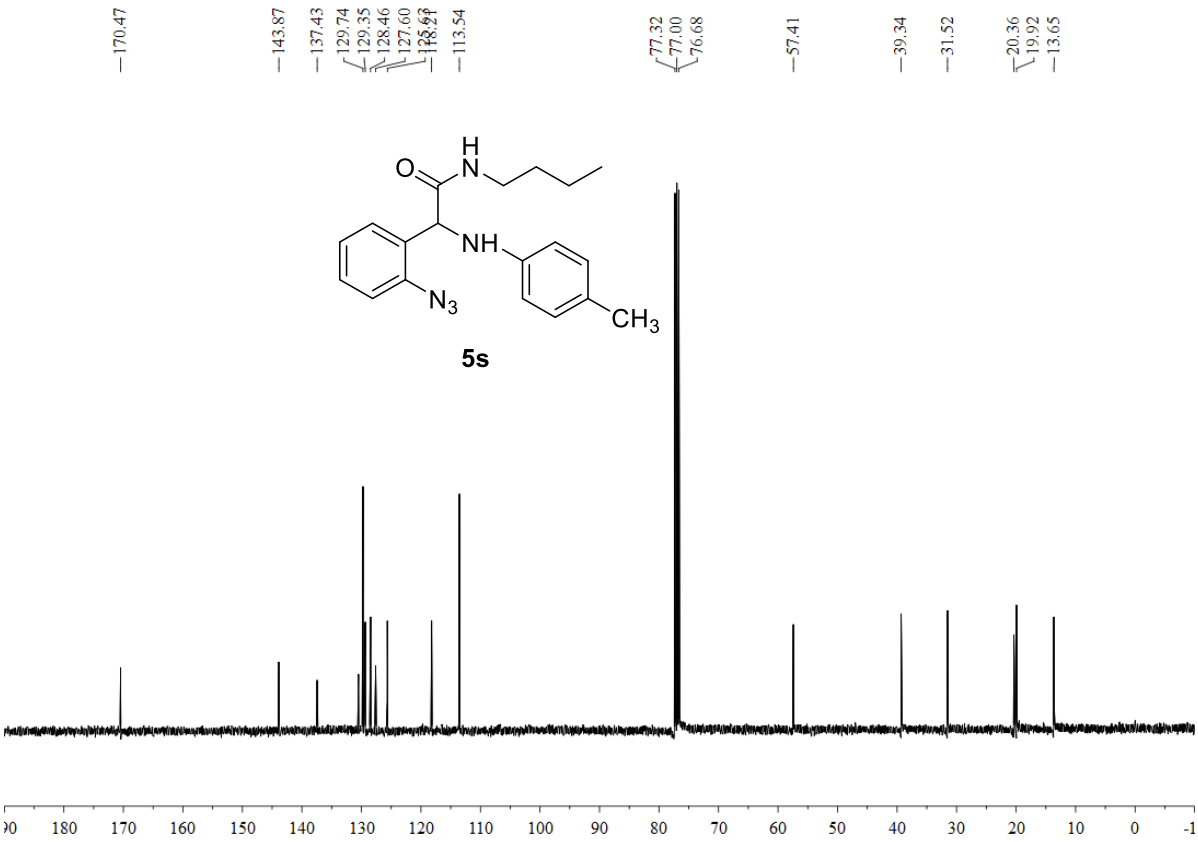
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5r.



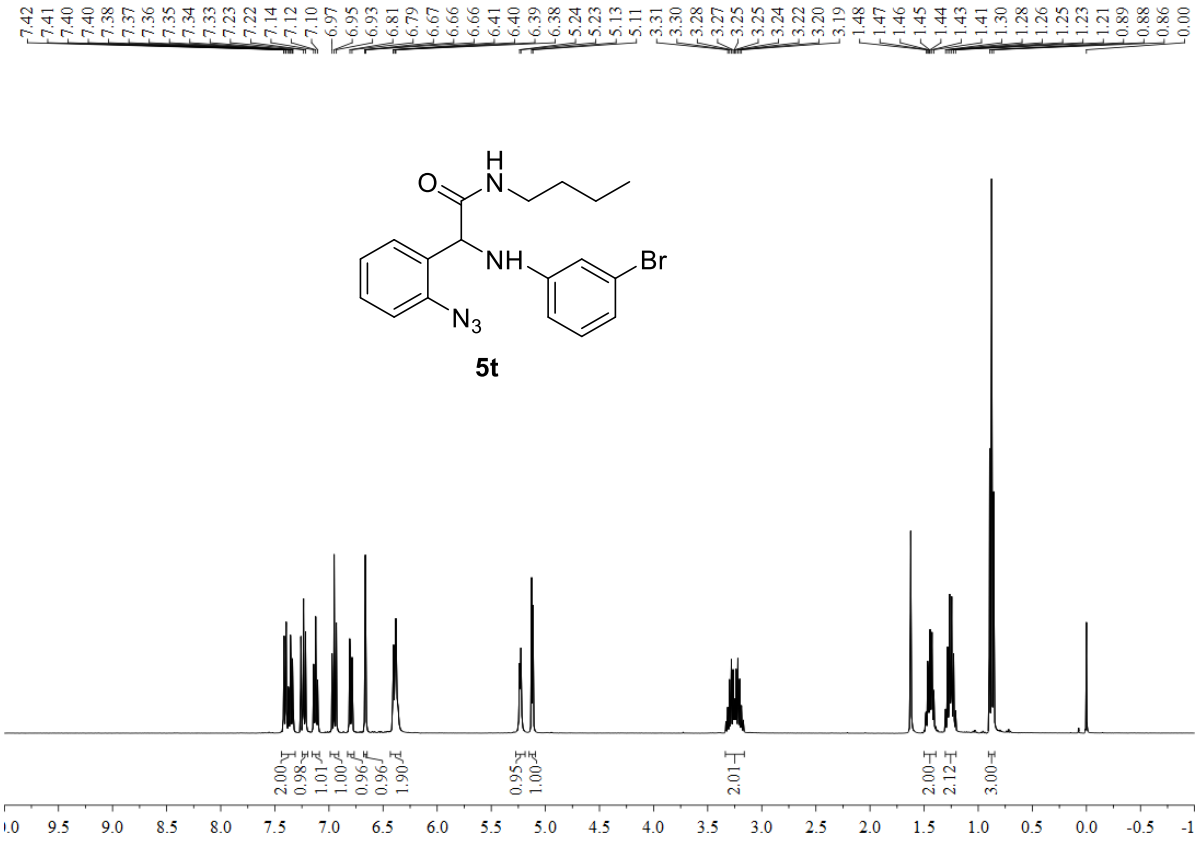
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5s.



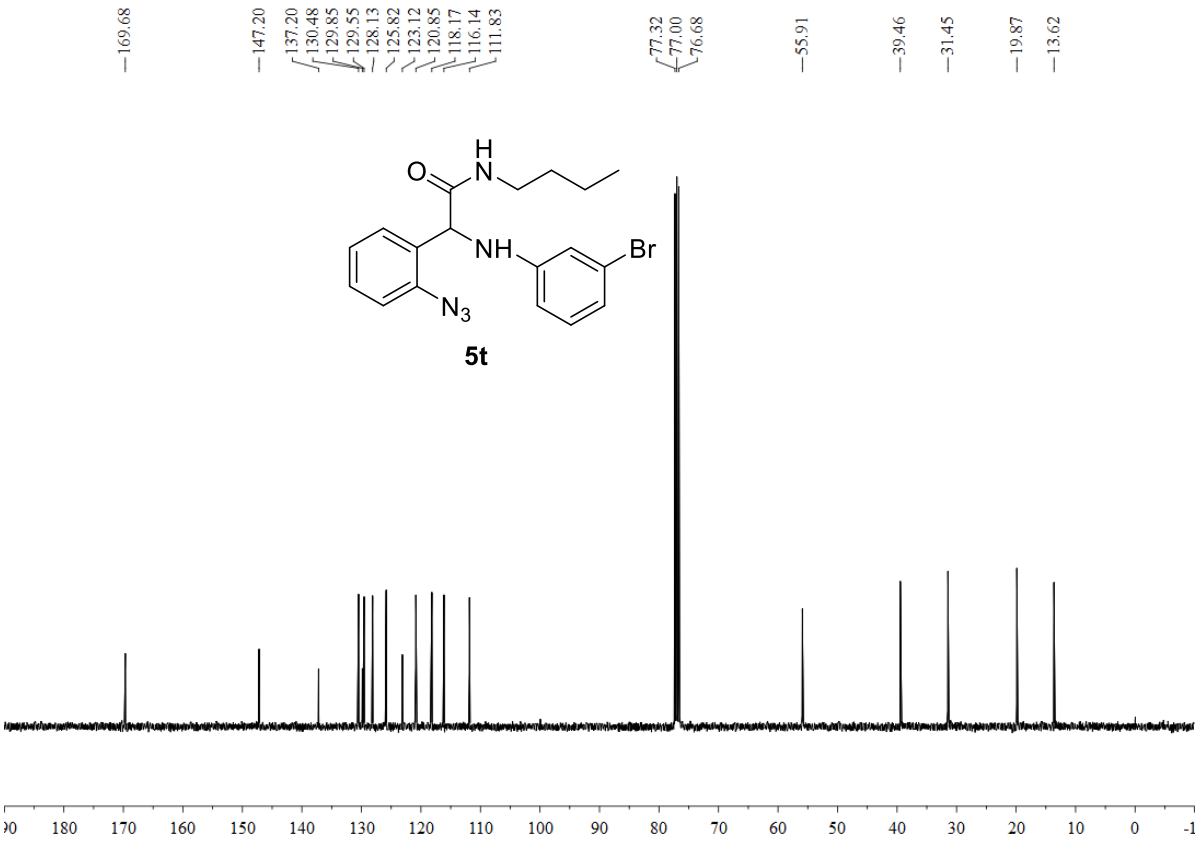
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5s.



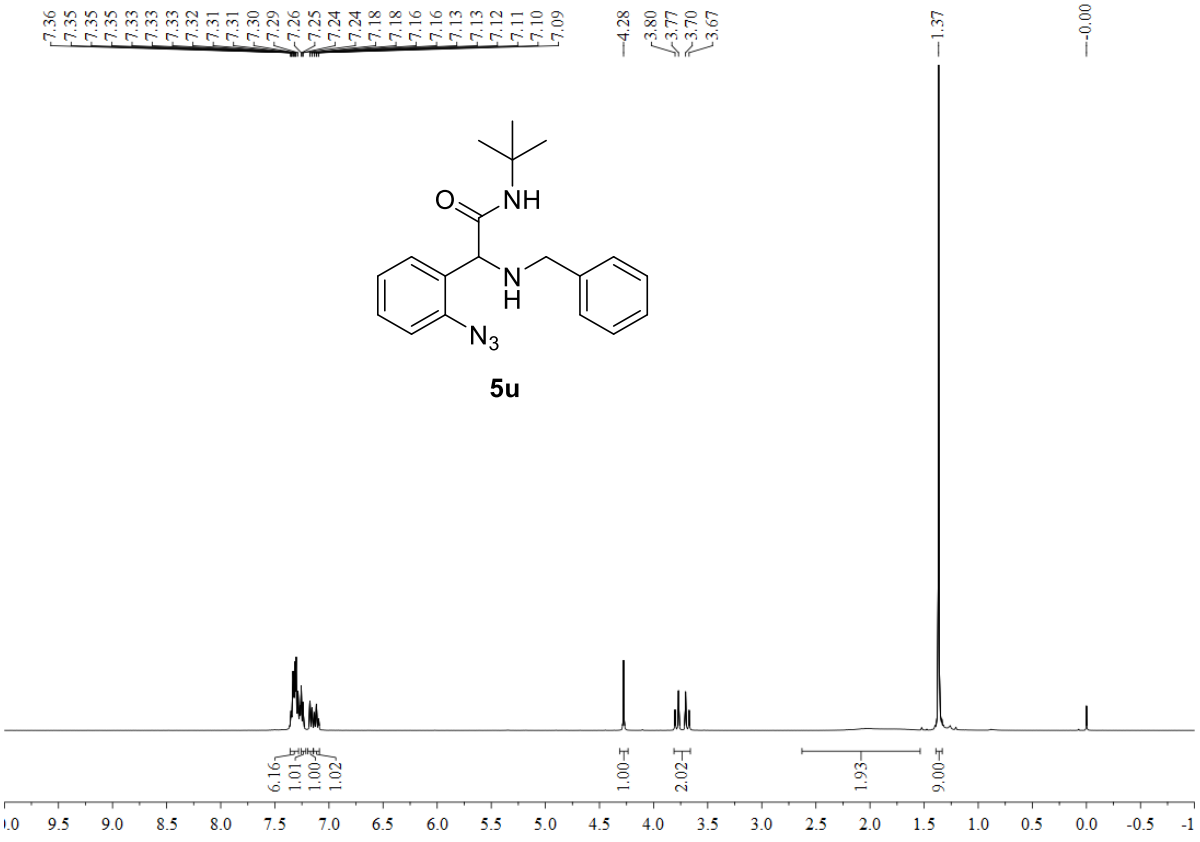
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5t.



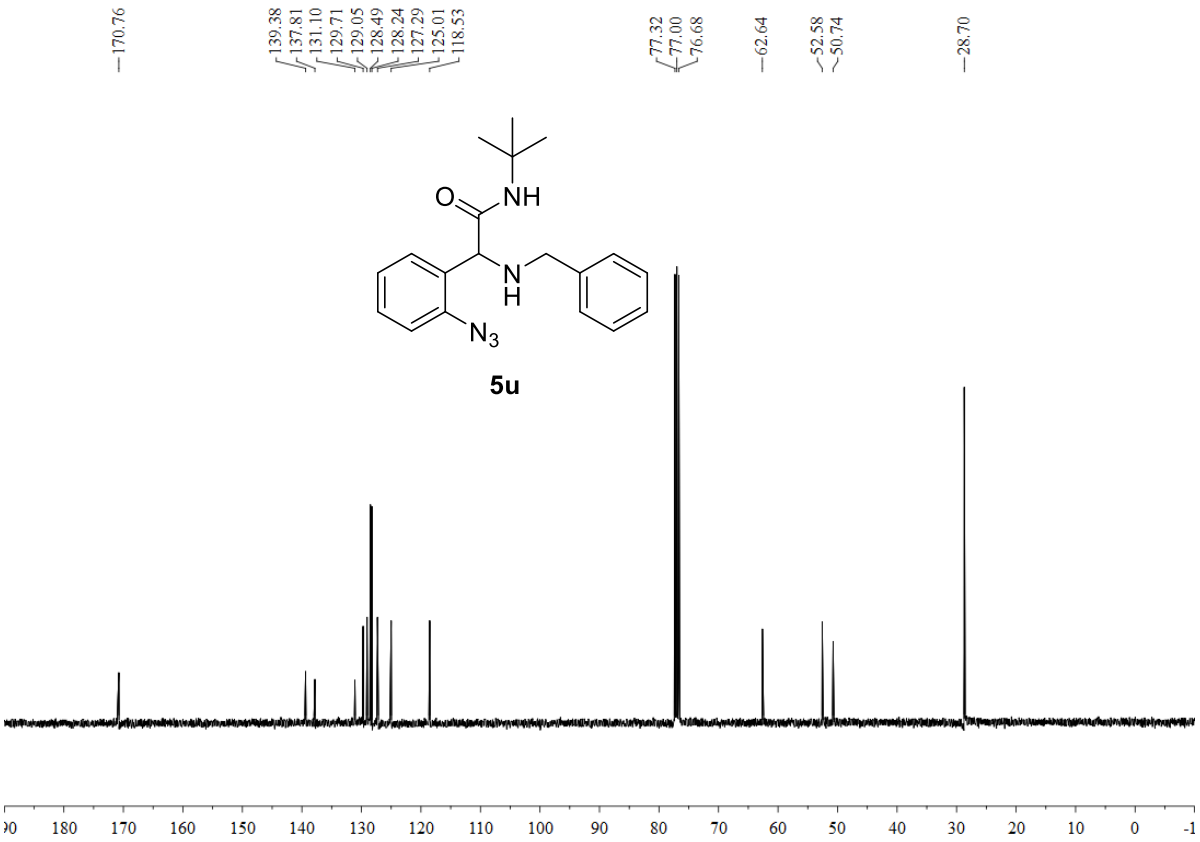
^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5t.



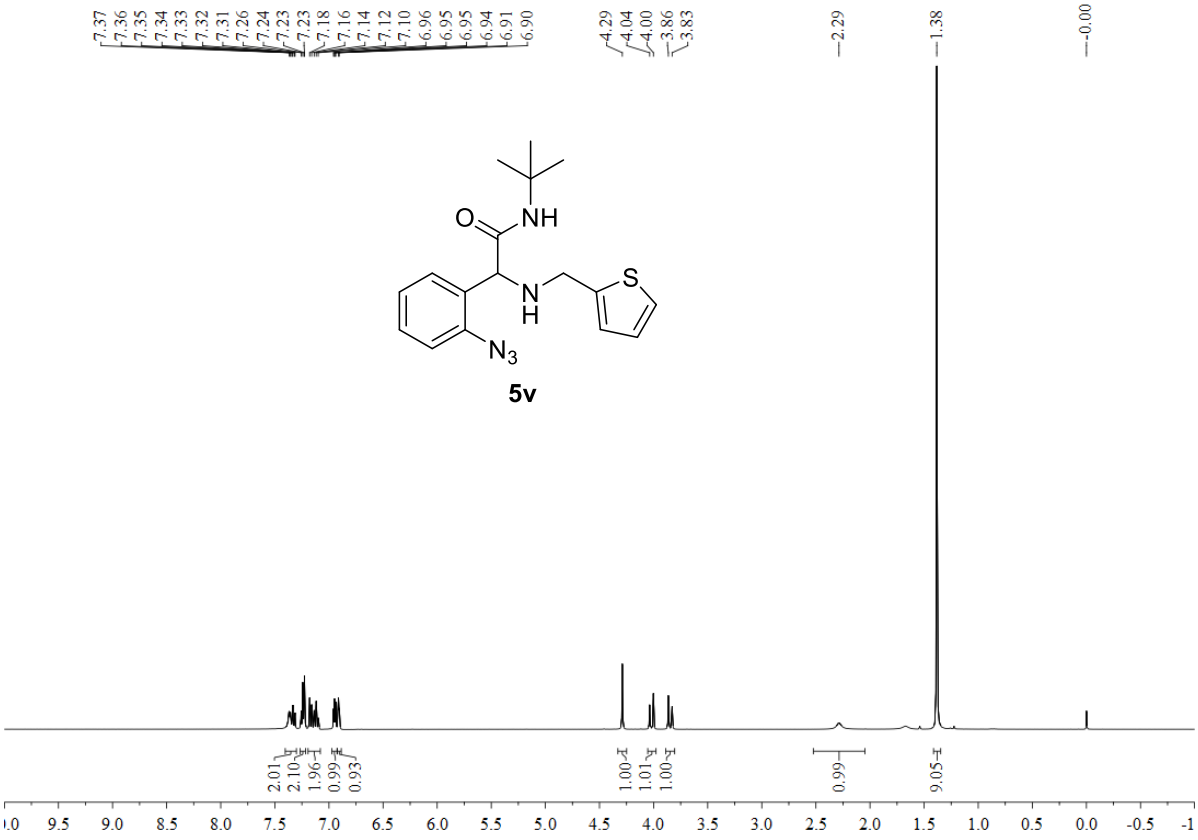
^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5u.



^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5u.



^{1}H NMR (400 MHz, $CDCl_3$) spectra of product 5v.



^{13}C NMR (100 MHz, $CDCl_3$) spectra of product 5v.