

Cu-Catalyzed Arylalkylation of Alkenes *via* *N*-Directed Remote C(sp³)-H Functionalization

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I. General Information

All reactions were carried out under argon unless noted specifically. The various alkenes **1a-1l** and arylboronic acids **2a-2q** were commercially available without further purification. The raw materials **1m**, **1n**, **3a-3p** were prepared according to the method reported in the literature, and the copper catalysts, ligands, and bases were purchased from chemical companies and used directly without further purification. The products were purified by column chromatography on silica gel (300-400 mesh) using thin-layer chromatography observed under UV radiation at 254 nm. All solvents are commercially available and anhydrous.

¹H NMR spectra were recorded at 400 MHz in CDCl₃, ¹³C NMR spectra were recorded at 101 MHz in CDCl₃, and ¹⁹F NMR spectra were recorded at 376 MHz in CDCl₃. Chemical shifts (ppm) were recorded with TMS (tetramethylsilane) as the internal reference standard. The following abbreviations were used to describe peak splitting patterns when appropriate: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublet. Coupling constants J were reported in hertz unit (Hz). High-resolution mass-spectral analysis was performed on Bruker APEXII. HRMS was obtained using a Q-TOF instrument equipped with an ESI source. The date of crystal structure was collected by Mo K α radiation on a Bruker APEX II diffractometer. Melting points were measured with a micro melting point apparatus.

II. Optimization of the Reaction Conditions

Table 1 Control Experiments.

entry	conditions	4a yield(%) ^b	3a' yield(%) ^b
1	standard ^a	74	15
2	No Cu	0	trace
3	No L ₁	trace	trace
4	No ^t BuOK	0	trace

^aStandard conditions was used. ^bYields were determined by ¹H NMR analysis of crude reaction mixture after work-up by using 1,3,5-trimethoxybenzene as an internal standard.

Table 2 Optimization of Copper Catalysts.

entry	conditions	4a yield(%) ^b	3a' yield(%) ^b
1	Cu(ClO ₄) ₂	22	15
2	CuTc	20	18
3	CuBr ₂	28	12
4	CuI	18	trace
5	CuOAc	31	trace
6	Cu(pph ₃) ₂ BH ₄	25	trace
7	Cu(CH₃CN)₄PF₆	36	17

Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.4 mmol, 2.0 equiv.), **3a** (0.2 mmol, 1.0 equiv.), catalyst (10 mol%), bpy (12 mol%), and ^tBuONa (0.4mmol 2 equiv.) in acetone (2.0 mL) at 70 °C for 24 h under an Ar atmosphere.

^bYields were determined by ¹H NMR analysis of crude reaction mixture after work-up by using 1,3,5-trimethoxybenzene as an internal standard.

Table 3 Optimization of Bases.

Reaction scheme showing the coupling of **1a** (1-naphthylboronic acid), **2a** (phenylboronic acid), and **3a** (N-tert-butyl-2-fluorobenzamide) to form **4a** (1-(1-phenyl-2-(naphthalen-1-yl)ethoxy)-2-tert-butylbenzamide) and **3a'** (1-(1-phenyl-2-(naphthalen-1-yl)ethoxy)-2-tert-butylbenzamide derivative).

Reaction conditions: $\text{Cu}(\text{CH}_3\text{CN})_4\text{PF}_6$ (10 mol%), bpy (12 mol%), base (2 equiv.), acetone (2.0 mL), Ar, 70 °C, 24 h.

entry	conditions	4a yield(%) ^b	3a' yield(%) ^b
1	Sodium phenol	11	19
2	^t BuOLi	16	23
3	^t BuONa	13	15
4	Cs_2CO_3	15	21
5	CsOPiv	7	trace
6	2.0 eq. ^tBuOK	23	16
7	3.0 eq. ^t BuOK	19	12

Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.4 mmol, 2.0 equiv.), **3a** (0.2 mmol, 1.0 equiv.), $\text{Cu}(\text{CH}_3\text{CN})_4\text{PF}_6$ (10 mol%), bpy (12 mol%), and base (0.4mmol 2 equiv.) in acetone (2.0 mL) at 70 °C for 24 h under an Ar atmosphere. ^bYields were determined by ¹H NMR analysis of crude reaction mixture after work-up by using 1,3,5-trimethoxybenzene as an internal standard.

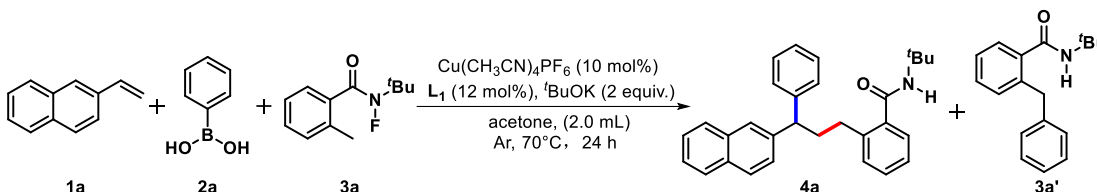
Table 4 Optimization of Ligands.

Reaction scheme showing the coupling of **1a**, **2a**, and **3a** to form **4a** and **3a'** using various ligands.

Reaction conditions: $\text{Cu}(\text{CH}_3\text{CN})_4\text{PF}_6$ (10 mol%), Ligand (12 mol%), ^tBuOK (2 equiv.), acetone (2.0 mL), 70 °C, 24 h, Ar.

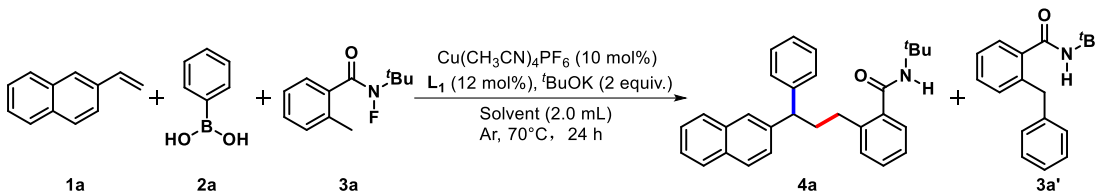
Ligand	4a yield(%)	3a' yield(%)
L₁ (2,2'-bipyridine)	36%	19%
L₂ (1,10-phenanthroline)	27%	14%
L₃ (1,10-phenanthroline derivative)	15%	trace
L₄ (1,10-phenanthroline derivative)	17%	trace
L₅ (2,2'-bipyridine derivative)	14%	trace
L₆ (2,2'-bipyridine derivative)	22%	trace
L₇ (2,2'-bipyridine derivative)	23%	trace
L₈ (2,2'-bipyridine derivative)	31%	17%

Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.4 mmol, 2.0 equiv.), **3a** (0.2 mmol, 1.0 equiv.), $\text{Cu}(\text{CH}_3\text{CN})_4\text{PF}_6$ (10 mol%), ligand (12 mol%), and ^tBuOK (0.4mmol 2 equiv.) in acetone (2.0 mL) at 70 °C for 24 h under an Ar atmosphere. Yields were determined by ¹H NMR analysis of crude reaction mixture after work-up by using 1,3,5-trimethoxybenzene as an internal standard.

Table 5 Optimization of Ratios of Reactants.


entry	Conditions (1a:2a:3a)	4a yield(%) ^b	3a' yield(%) ^b
1	2:1.5:2	18	13
2	1:1:1	22	trace
3	1:1.5:2.5	32	14
4	1:3:2.5	46	18
5	1:2:1	29	13
6	1:2.5:2.5	51	22

Reaction conditions: **1a**, **2a**, **3a**, Cu(CH₃CN)₄PF₆ (10 mol%), **L1** (12 mol%), and ^tBuOK (0.4mmol 2 equiv.) in acetone (2.0 mL) at 70 °C for 24 h under an Ar atmosphere. ^bYields were determined by ¹H NMR analysis of crude reaction mixture after work-up by using 1,3,5-trimethoxybenzene as an internal standard.

Table 6 Optimization of Solvents.


entry	conditions	4a yield(%) ^b	3a' yield(%) ^b
1	DCM	47	25
2	PhCF ₃	29	11
3	THF	35	trace
4	1,4-dioxane	28	trace
5	MTBE	25	15
6	Acetone	43	trace
7	CH ₃ CN	37	17
8	DCE	23	19
9	DCM: 1,4-dioxane 9:1	57	23
10	DCM: 1,4-dioxane 7:1	51	18
11	DCM: 1,4-dioxane 5:1	65	16
12	DCM: 1,4-dioxane 3:1	60	22
13	DCM: 1,4-dioxane 1:1	43	19
14	DCM: 1,4-dioxane 1:3	53	17
15	DCM: 1,4-dioxane 1:5	49	14

Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.5 mmol, 2.5 equiv.), **3a** (0.5 mmol, 2.5 equiv.), Cu(CH₃CN)₄PF₆ (10 mol%), **L1** (12 mol%), and ^tBuOK (0.4mmol 2 equiv.) in solvent (2.0 mL) at 70 °C for 24 h under an Ar atmosphere. ^bYields were determined by ¹H NMR analysis of crude reaction mixture after work-up by using 1,3,5-trimethoxybenzene as an internal standard.

Table 7 Optimization of Temperature.

entry	Conditions (°C)	4a yield(%) ^b	3a' yield(%) ^b
1	40	21	29
2	60	35	17
3	70	57	14
4	80	69	19
5	100	43	26

Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.5 mmol, 2.5 equiv.), **3a** (0.5 mmol, 2.5 equiv.), Cu(CH₃CN)₄PF₆ (10 mol%), L₁ (12 mol%), and ^tBuOK (0.4mmol 2 equiv.) in solvent (2.0 mL) at T °C for 24 h under an Ar atmosphere. Yields were determined by ¹H NMR analysis of crude reaction mixture after work-up by using 1,3,5-trimethoxybenzene as an internal standard.

Table 8 Optimization of Time.

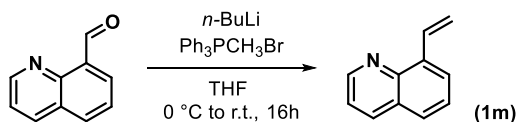
entry	Conditions (h)	4a yield(%) ^b	3a' yield(%) ^b
1	16	63	26
2	18	74	15
3	20	69	18
4	24	68	13
5	36	65	20

Reaction conditions: **1a** (0.2 mmol, 1.0 equiv.), **2a** (0.5 mmol, 2.5 equiv.), **3a** (0.5 mmol, 2.5 equiv.), Cu(CH₃CN)₄PF₆ (10 mol%), L₁ (12 mol%), and ^tBuOK (0.4mmol 2 equiv.) in solvent (2.0 mL) at 80 °C for t h under an Ar atmosphere.

^bYields were determined by ¹H NMR analysis of crude reaction mixture after work-up by using 1,3,5-trimethoxybenzene as an internal standard.

III. Synthesis of Substrates

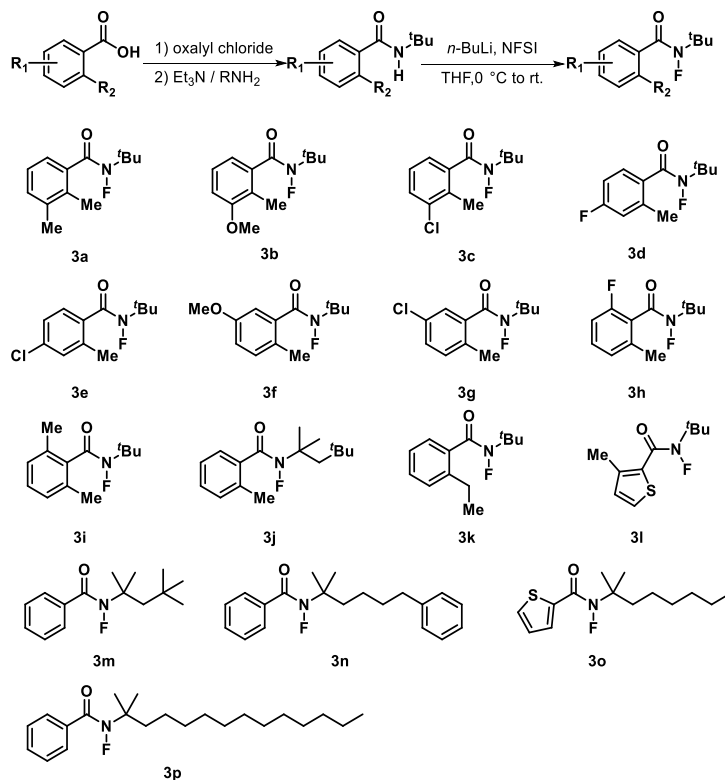
a) Preparation of 8-vinylquinoline¹



To a solution of methyltriphenylphosphonium bromide (3.93 g, 11 mmol, 1.1 equiv.) in anhydrous THF (40 mL) was added dropwise *n*-BuLi (6.9 mL, 1.6 M in hexanes, 11 mmol, 1.1 equiv.) at 0 °C under a N₂ atmosphere. The solution was stirred at room temperature for 2 hours and then a solution of the quinoline-8-carboxaldehyde (10 mmol, 1 equiv.) in anhydrous THF (10 mL) was added dropwise at 0 °C. The reaction was stirred for 16 hours at room temperature and then quenched by adding saturated aqueous NH₄Cl solution. The aqueous layer was extracted with EtOAc, and the combined organic layers were washed with brine and then dried over Na₂SO₄. After filtration, the solvents were removed under reduced pressure, and the residue was purified by flash column chromatography (65% yield).

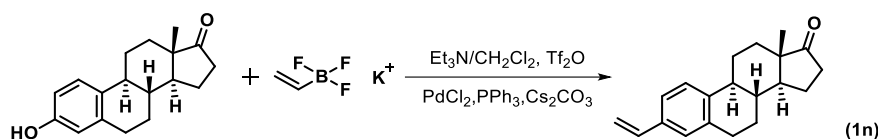
b) Preparation of *N*-fluorocarboxamide substrates²

According to previous reports, all *N*-fluorocarboxamide substrates (**3a-3p**) were synthesized as described in the literature, and were proved as identified known compounds with reported NMR spectra and HRMS analysis data. And the brief description of the synthesis method and properties of *N*-fluorocarboxamide substrates were illustrated in a 10 mmol scale reaction as below.



Oxalyl chloride (1.5 equiv.) was added dropwise to a DCM (0.3M, 33 mL) solution of substituted 2-methylbenzoic acid (10 mmol, 1.0 equiv.) and DMF (0.05 equiv.), and the mixture was stirred at room temperature until the bubbling stopped. Then, the crude reaction product was concentrated by vacuum distillation to remove volatiles and dissolved in DCM (0.3 M, 33 mL). After that, *tert*-butylamine (1.5 equiv.) and triethylamine (2.0 equiv.) were sequentially added at room temperature in this solution. After stirring for 1 to 3 h, this reaction was quenched with 1.0 M aqueous HCl. The crude mixture was extracted with DCM and saturated with aqueous NaHCO₃ three times, then dried with anhydrous Na₂SO₄ and concentrated by vacuum distillation. The product was purified by silica gel column chromatography with petroleum ether/ethyl acetate as eluent. All the *N*-fluorocarboxamides were prepared by *N*-fluorination of their parent carboxamides according to conventional methods. Amide (1.0 equiv.) was added to a pre-dried round-bottom flask with a stir bar, and the flask was charged with argon (repeated five times). Then anhydrous THF (0.13 M) was injected and was cooled on an ice bath for 15 minutes. *n*-butyllithium (1.1 equiv., 2.4 M in hexanes) was added dropwise, and the reaction was conducted at 0 °C. After stirring for 1.5 h, NFSI (1.5 equiv., 0.6 M in THF) was added dropwise. The reaction was left overnight in the ice bath and warmed to room temperature. After 10 to 14 h, the reaction was quenched with 1 M aqueous HCl. The crude mixture was extracted with DCM and saturated with aqueous NaHCO₃ three times, then dried with anhydrous Na₂SO₄ and concentrated by vacuum distillation. The fluoroamides were purified by silica gel column chromatography with petroleum ether/ethyl acetate as eluent.

c) Preparation of estrone-derived substrates³



A 100 ml flask was flame-dried and charged with estrone (1.35 g, 5 mmol, 1.0 equiv.), CH₂Cl₂ (20 mL) and Et₃N (1.01 g, 10 mmol, 2.0 equiv.). The mixture was cooled to 0 °C in an ice water bath. Tf₂O (1.55 g, 5.5 mmol, 1.1 equiv.) was added for more than 10 minutes. The mixture was heated to room temperature and stirred with nitrogen at room temperature for 3 h. The resulting brown mixture was diluted with CH₂Cl₂, washed with saturated NH₄Cl, and the aqueous layer extracted with CH₂Cl₂. The complex organic layer was dried over MgSO₄, and the filtrate was concentrated. The above products, potassium vinyltrifluoroborate (0.74 g, 5.5 mmol, 1.1 equiv.) and PdCl₂ (17.7 mg, 0.1 mmol, 0.02 equiv.) were loaded into threaded tubes, and the tubes were placed in a nitrogen-filled glove box. PPh₃ (78.6 mg, 0.3 mmol, 0.06 equiv.), Cs₂CO₃ (4.89 g, 15 mmol, 3.0 equiv.) and THF (18 mL) were added, and the tube was sealed and removed from the glove box. 2.0 mL H₂O was added and stirred at 85 °C for 19 h. The resulting dark brown mixture was cooled to room temperature, diluted with CH₂Cl₂ and washed with H₂O. The aqueous layer was extracted with CH₂Cl₂. The complex organic layer was dried over MgSO₄, and the filtrate was concentrated. The crude product was purified by column chromatography (petroleum ether/ethyl acetate 10/1) to give white solid (70% yield).

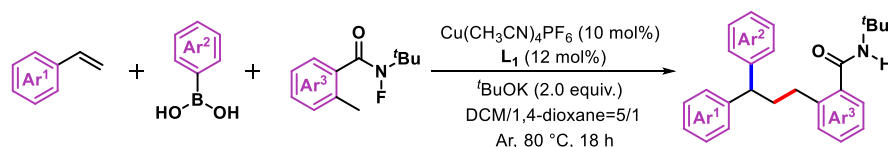
All of the NMR spectra of the know compounds were in full accordance with the data in the literatures.

References:

1. B. N. Bhawal, J. C. Reisenbauer, C. Ehinger and B. Morandi, Overcoming Selectivity Issues in Reversible Catalysis: A Transfer Hydrocyanation Exhibiting High Kinetic Control, *J. Am. Chem. Soc.*, 2020, **142**, 10914-10920.
2. Y.-T. Wen, X.-T. Kong, H.-C. Liu, C.-T. Wang, W.-X. Wei, B. Wang, X.-Y. Liu and Y.-M. Liang, Ni-Catalyzed Remote Radical/Cross-Electrophile Coupling Cascade for Selective C(sp³)-H Arylation, *Org. Lett.*, 2022, **24**, 2399-2403.
3. W. Lu, C. Li, X. Wu, X. Xie and Z. Zhang, [Rh(COD)Cl]₂/PPh₃-Catalyzed Dehydrogenative Silylation of Styrene Derivatives with NBE as a Hydrogen Acceptor, *Organometallics*, 2020, **39**, 3780-3788.

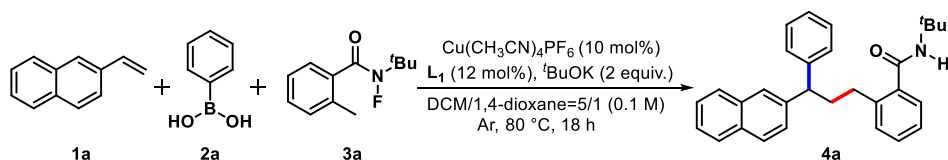
IV. Experimental procedures

d) General procedures for Alkylarylation of Vinylaromatics, *N*-fluoroamides, and Arylboronic acids.



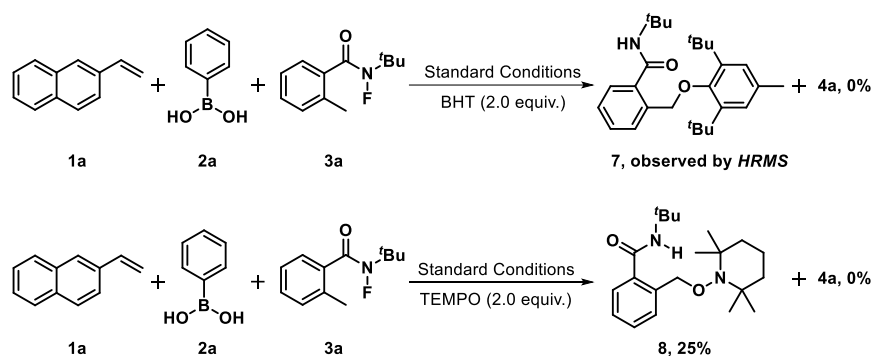
The reaction is operated in a glove box and the sealing tube is pre-dried before use. Vinylaromatics (0.2 mmol, 1.0 equiv.), *N*-fluoroamides (0.5 mmol, 2.5 equiv.), Arylboronic acids (0.5 mmol, 2.5 equiv.), $\text{Cu}(\text{CH}_3\text{CN})_4\text{PF}_6$ (10 mol%, 0.02 mmol), 2,2':6',2''-terpyridine as ligand (12 mol%, 0.024 mmol), and $t\text{BuOK}$ as base (0.4 mmol, 2 equiv.) were added in a 15 mL pre-dried sealing tube with stir bar. And then the solvent (DCM/1,4-dioxane = 5/1, v/v, 2.0 mL in total) was injected. The resulting mixture was stirred at room temperature for about 15 minutes in advance and was carried out at 80 °C (oil bath) for 18 h subsequently. The completed reaction mixture was concentrated by vacuum distillation. Afterward, the product was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent.

e) Amplification reaction with 1.0 mmol scale

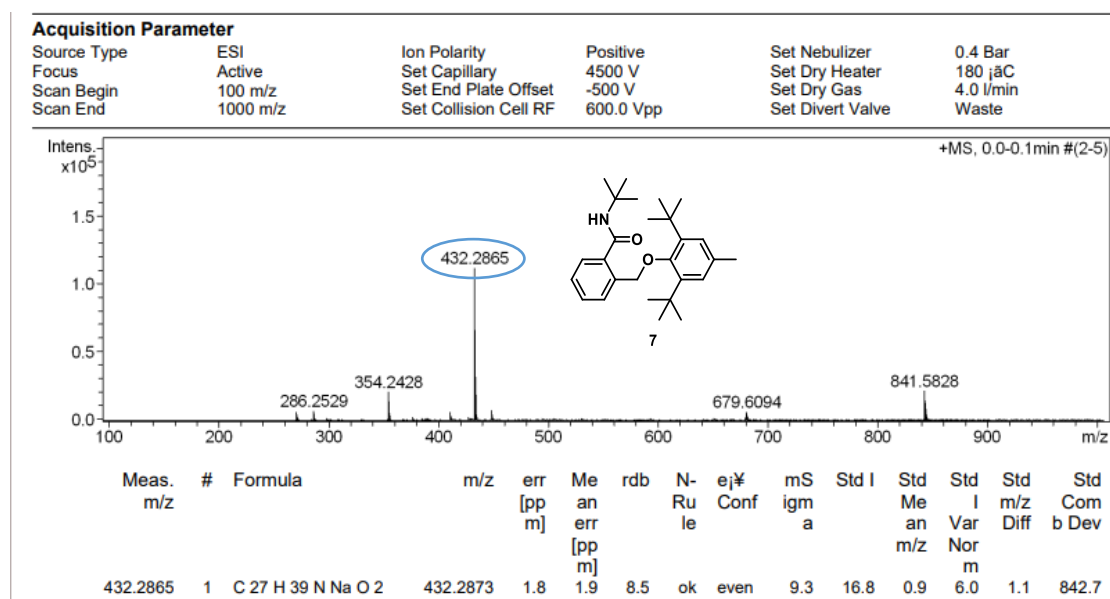


2-vinylnaphthalene (**1a**, 1.0 mmol 154.2 mg), *N*-(*tert*-butyl)-*N*-fluoro-2-methylbenzamide (**2a**, 2.5 mmol, 703.2 mg), phenylboronic acid (**3a**, 2.5 mmol, 304.8 mg), $\text{Cu}(\text{CH}_3\text{CN})_4\text{PF}_6$ (10 mol%, 0.1 mmol, 37.3 mg), 2,2':6',2''-terpyridine (**L1**) as ligand (12 mol%, 0.12 mmol, 28 mg), and base (2 mmol, 224 mg.) were added in a 25 mL pre-dried round-bottom flask with stir bar. And then the solvent (DCM/1,4-dioxane = 5/1, v/v, 10.0 mL in total) was injected. The resulting mixture was stirred at room temperature for about 15 minutes in advance and was carried out at 80 °C (oil bath) for 18 h subsequently. The completed reaction mixture was concentrated by vacuum distillation. Afterward, the product was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent. The pure product was obtained using petroleum ether/ethyl acetate=20/1 as eluent, and 53% isolated yield of **4a** (235.4 mg) has been obtained.

V. Radical trapping experiments



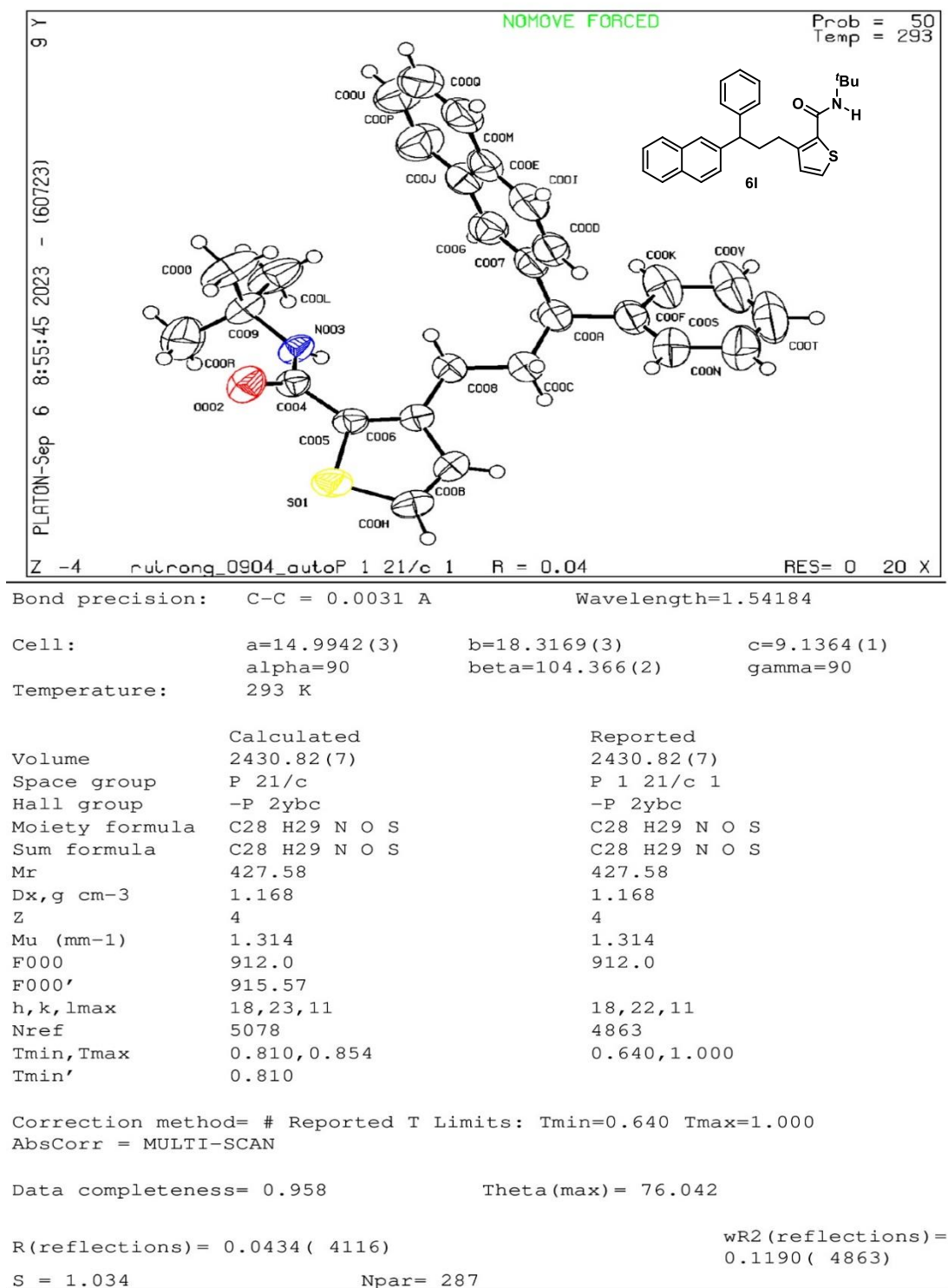
Under standard conditions, the radical trapping agent was added separately, and then the experimental results were observed. when adding 2.0 equivalents 2,6-di-*tert*-butyl-4-methylphenol (BHT) into the standard conditions, the HRMS captured product **7** while no target product **4a** was detected. Subsequently, the reaction was completely inhibited using 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) as a scavenger, but the corresponding trap product **8** was isolated in 25% yield. These results suggested that the alkylarylation may proceed through a radical pathway.



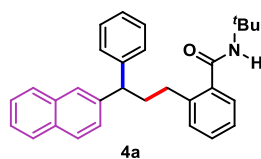
VI. X-ray Crystallographic Information

Sample preparation: The crystal **6I** was grown by slowly evaporating with a mixture of acetonitrile and dichloromethane at the refrigerator under the air conditions. (CCDC: 2293192)

Crystal measurement: X-ray crystal structures of **6I** were determined at room temperature (293 K). Thermal ellipsoids are drawn at 50% probability level.



VII. Spectra Data of Products



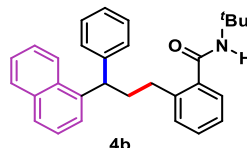
N-(*tert*-butyl)-2-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide

White solid (55.6 mg, 66% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 98-100 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.80 – 7.72 (m, 4H), 7.45 – 7.37 (m, 2H), 7.35 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.31 – 7.28 (m, 4H), 7.26 – 7.24 (m, 2H), 7.18 – 7.15 (m, 3H), 5.46 (s, 1H), 4.13 (t, *J* = 7.6 Hz, 1H), 2.79 – 2.75 (m, 2H), 2.54 – 2.45 (m, 2H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 144.6, 142.2, 139.9, 137.8, 133.5, 132.2, 130.0, 129.4, 128.4, 128.1, 128.0, 127.7, 127.5, 126.8, 126.6, 126.2, 125.9, 125.8, 125.3, 51.6, 51.5, 37.1, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₁NNaO⁺ [*M* + Na]⁺: 444.2303; Found 444.2314.



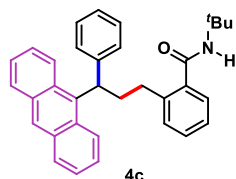
N-(*tert*-butyl)-2-(3-(naphthalen-1-yl)-3-phenylpropyl)benzamide

White solid (53.9 mg, 64% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 113-116 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.04 – 8.00 (m, 1H), 7.83 – 7.79 (m, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.54 (dd, *J* = 7.2, 1.2 Hz, 1H), 7.48 – 7.44 (m, 1H), 7.42 – 7.38 (m, 2H), 7.32 – 7.27 (m, 3H), 7.26 – 7.22 (m, 3H), 7.19 – 7.12 (m, 3H), 5.43 (s, 1H), 4.78 (t, *J* = 7.2 Hz, 1H), 2.90 – 2.74 (m, 2H), 2.58 – 2.43 (m, 2H), 1.32 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 144.6, 140.1, 139.8, 137.9, 134.0, 131.9, 130.1, 129.4, 128.8, 128.4, 128.1, 126.9, 126.6, 126.1, 125.83, 125.79, 125.5, 125.2, 124.5, 123.6, 51.6, 46.2, 37.9, 32.1, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₁NNaO⁺ [*M* + Na]⁺: 444.2298; Found 444.2298.



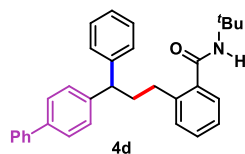
2-(3-(anthracen-9-yl)-3-phenylpropyl)-*N*-(*tert*-butyl)benzamide

Light yellow liquid (21.7 mg, 23% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 20/1.

¹H NMR (400 MHz, CDCl₃) δ 7.56 – 7.54 (m, 2H), 7.32 – 7.31 (m, 4H), 7.25 – 7.14 (m, 6H), 7.09 – 7.05 (m, 3H), 6.94 – 6.92 (m, 1H), 6.40 (dd, *J* = 7.6, 1.2 Hz, 1H), 6.27 (dd, *J* = 8.8, 6.0 Hz, 1H), 5.50 (s, 1H), 4.39 (t, *J* = 7.6 Hz, 1H), 4.08 – 4.02 (m, 1H), 3.96 – 3.91 (m, 1H), 3.03 (dd, *J* = 7.6, 1.2 Hz, 2H), 1.48 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.9, 141.2, 140.9, 138.8, 138.5, 138.3, 137.4, 135.8, 134.2, 131.9, 128.7, 128.6, 128.43, 128.41, 127.8, 127.4, 126.8, 126.5, 126.3, 126.2, 126.14, 126.07, 125.6, 124.0, 51.7, 49.4, 42.6, 36.0, 28.9.

HRMS (ESI) *m/z*: Calcd for C₃₄H₃₃NNaO⁺ [M + Na]⁺: 494.2454; Found 494.2464.



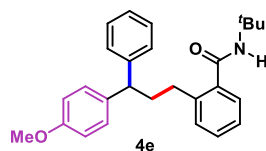
2-(3-([1,1'-biphenyl]-4-yl)-3-phenylpropyl)-N-(tert-butyl)benzamide

White solid (57.2 mg, 64% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 136-138 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.56 – 7.49 (m, 4H), 7.43 – 7.39 (m, 2H), 7.35 – 7.25 (m, 9H), 7.20 – 7.16 (m, 3H), 5.48 (s, 1H), 4.00 (t, *J* = 8.0 Hz, 1H), 2.78 – 2.74 (m, 2H), 2.46 – 2.40 (m, 2H), 1.38 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 144.7, 143.9, 141.0, 139.8, 139.0, 137.9, 130.0, 129.4, 128.7, 128.5, 128.3, 127.9, 127.2, 126.99, 126.96, 126.6, 126.2, 125.8, 51.7, 51.2, 37.4, 32.1, 28.8.

HRMS (ESI) *m/z*: Calcd for C₃₂H₃₃NNaO⁺ [M + Na]⁺: 470.2454; Found 470.2464.



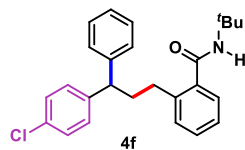
N-(tert-butyl)-2-(3-(4-methoxyphenyl)-3-phenylpropyl)benzamide

White solid (43.3 mg, 54% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 100-102 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.30 – 7.27 (m, 1H), 7.26 – 7.20 (m, 5H), 7.19 – 7.12 (m, 5H), 6.83 – 6.79 (m, 2H), 5.47 (s, 1H), 3.91 (t, *J* = 7.6 Hz, 1H), 3.76 (s, 3H), 2.75 – 2.66 (m, 2H), 2.38 – 2.32 (m, 2H), 1.38 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.7, 157.9, 145.2, 139.9, 137.9, 136.9, 130.0, 129.4, 128.8, 128.4, 127.8, 126.6, 126.0, 125.8, 113.8, 55.2, 51.7, 50.6, 37.6, 32.0, 28.8.

HRMS (ESI) *m/z*: Calcd for C₂₇H₃₁NNaO₂⁺ [M + Na]⁺: 424.2247; Found 424.2249.



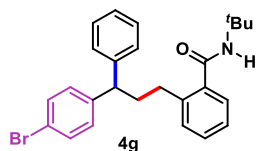
N-(tert-butyl)-2-(3-(4-chlorophenyl)-3-phenylpropyl)benzamide

Light yellow liquid (39.7 mg, 49% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1.

¹H NMR (400 MHz, CDCl₃) δ 7.30 – 7.25 (m, 5H), 7.24 – 7.21 (m, 4H), 7.20 – 7.17 (m, 3H), 7.15 – 7.12 (m, 1H), 5.49 (s, 1H), 3.93 (t, *J* = 7.6 Hz, 1H), 2.75 – 2.64 (m, 2H), 2.41 – 2.32 (m, 2H), 1.38 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 144.2, 143.3, 139.7, 137.8, 131.8, 130.0, 129.5, 129.3, 128.50, 128.46, 127.8, 126.6, 126.3, 125.9, 51.7, 50.8, 37.3, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₂₆H₂₈ClNNaO⁺ [M + Na]⁺: 428.1752; Found 428.1766.



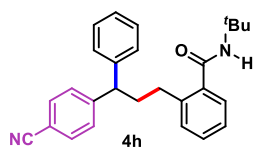
***N*-(*tert*-butyl)-2-(3-(4-chlorophenyl)-3-phenylpropyl)benzamide**

White solid (49.4 mg, 55% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 102-104 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.40 – 7.36 (m, 2H), 7.30 – 7.27 (m, 3H), 7.25 (s, 1H), 7.23 – 7.21 (m, 2H), 7.19 – 7.12 (m, 5H), 5.48 (s, 1H), 3.92 (t, *J* = 7.8 Hz, 1H), 2.75 – 2.64 (m, 2H), 2.40 – 2.31 (m, 2H), 1.38 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 144.1, 143.8, 139.7, 137.8, 131.5, 130.0, 129.7, 129.5, 128.5, 127.8, 126.6, 126.3, 125.9, 119.9, 51.7, 50.9, 37.2, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₂₆H₂₈BrNNaO⁺ [*M* + Na]⁺: 472.1246; Found 472.1238.



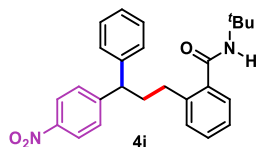
***N*-(*tert*-butyl)-2-(3-(4-cyanophenyl)-3-phenylpropyl)benzamide**

Light yellow solid (38.0 mg, 48% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 99-101 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.57 – 7.54 (m, 2H), 7.39 – 7.37 (m, 2H), 7.31 – 7.27 (m, 4H), 7.24 – 7.17 (m, 4H), 7.12 (dd, *J* = 7.6, 2.0 Hz, 1H), 5.51 (s, 1H), 4.02 (t, *J* = 8.0 Hz, 1H), 2.71 – 2.67 (m, 2H), 2.45 – 2.36 (m, 2H), 1.38 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.5, 150.4, 143.1, 139.5, 137.7, 132.3, 130.1, 129.5, 128.8, 128.7, 127.9, 126.7, 126.6, 126.1, 119.0, 109.9, 51.7, 51.6, 37.1, 32.1, 28.7.

HRMS (ESI) *m/z*: Calcd for C₂₇H₂₈N₂NaO⁺ [*M* + Na]⁺: 419.2094; Found 419.2104.



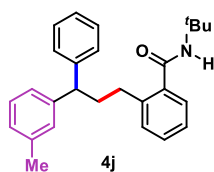
***N*-(*tert*-butyl)-2-(3-(4-nitrophenyl)-3-phenylpropyl)benzamide**

Light yellow solid (21.6 mg, 26% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 106-108 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.15 – 8.11 (m, 2H), 7.46 – 7.42 (m, 2H), 7.33 – 7.28 (m, 4H), 7.26 – 7.17 (m, 4H), 7.13 (dd, *J* = 7.6, 1.6 Hz, 1H), 5.51 (s, 1H), 4.08 (t, *J* = 8.0 Hz, 1H), 2.72 – 2.68 (m, 2H), 2.47 – 2.41 (m, 2H), 1.38 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 152.6, 146.4, 143.0, 139.5, 137.7, 130.1, 129.6, 128.8, 127.9, 126.8, 126.6, 126.1, 123.7, 51.7, 51.4, 37.1, 32.1, 28.7.

HRMS (ESI) *m/z*: Calcd for C₂₆H₂₈N₂NaO₃⁺ [*M* + Na]⁺: 439.1992; Found 439.1992.



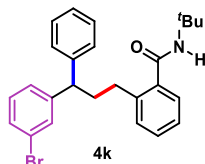
***N*-(*tert*-butyl)-2-(3-phenyl-3-(*m*-tolyl)propyl)benzamide**

White solid (28.5 mg, 37% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 96-98 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.30 – 7.27 (m, 3H), 7.26 – 7.26 (m, 3H), 7.19 – 7.14 (m, 4H), 7.07 – 7.05 (m, 2H), 6.97 (d, *J* = 7.2 Hz, 1H), 5.46 (s, 1H), 3.91 (t, *J* = 7.6 Hz, 1H), 2.74 – 2.70 (m, 2H), 2.40 – 2.34 (m, 2H), 2.30 (s, 3H), 1.38 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.7, 144.9, 144.7, 139.9, 137.87, 137.86, 130.0, 129.4, 128.7, 128.4, 128.3, 127.9, 126.9, 126.6, 126.0, 125.8, 124.9, 51.7, 51.5, 37.3, 32.0, 28.7, 21.5.

HRMS (ESI) *m/z*: Calcd for C₂₇H₃₁NNaO⁺ [*M* + Na]⁺ : 408.2298; Found 408.2307.



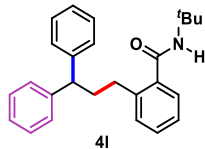
***N*-(*tert*-butyl)-2-(3-(3-bromophenyl)-3-phenylpropyl)benzamide**

White solid (24.3 mg, 27% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 78-81 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.39 – 7.38 (m, 1H), 7.30 – 7.27 (m, 4H), 7.25 – 7.22 (m, 3H), 7.26 – 7.17 (m, 3H), 7.15 – 7.11 (m, 2H), 5.49 (s, 1H), 3.92 (t, *J* = 7.6 Hz, 1H), 2.73 – 2.69 (m, 2H), 2.41 – 2.32 (m, 2H), 1.38 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 147.2, 143.8, 139.6, 137.7, 130.9, 130.01, 129.99, 129.5, 129.2, 128.6, 127.9, 126.6, 126.4, 125.9, 122.5, 51.7, 51.2, 37.1, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₂₆H₂₈BrNNaO⁺ [*M* + Na]⁺ : 472.1246; Found 472.1242.



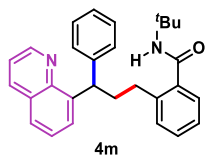
***N*-(*tert*-butyl)-2-(3,3-diphenylpropyl)benzamide**

White solid (23.0 mg, 31% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 70-73 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.28 – 7.24 (m, 10H), 7.19 – 7.16 (m, 2H), 7.15 – 7.13 (m, 2H), 5.47 (s, 1H), 3.95 (t, *J* = 7.6 Hz, 1H), 2.74 – 2.70 (m, 2H), 2.42 – 2.36 (m, 2H), 1.37 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 144.7, 139.8, 137.8, 130.0, 129.4, 128.44, 128.40, 128.28, 128.25, 127.9, 127.6, 126.6, 126.1, 125.8, 51.7, 51.5, 37.3, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₂₆H₂₉NNaO⁺ [*M* + Na]⁺ : 394.2141; Found 394.2151.



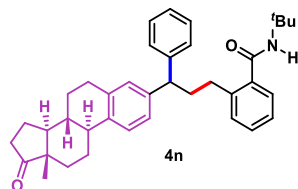
***N*-(*tert*-butyl)-2-(3-phenyl-3-(quinolin-7-yl)propyl)benzamide**

White solid (29.6 mg, 35% yield). silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1. m.p: 153-156 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.93 – 8.91 (m, 1H), 8.09 – 8.07 (m, 1H), 7.68 (dd, *J* = 7.2, 1.6 Hz, 1H), 7.62 (dd, *J* = 8.0, 1.2 Hz, 1H), 7.49 – 7.45 (m, 3H), 7.36 – 7.33 (m, 1H), 7.26 – 7.22 (m, 4H), 7.17 – 7.10 (m, 3H), 5.69 (t, *J* = 8.0 Hz, 1H), 5.50 (s, 1H), 2.86 – 2.72 (m, 2H), 2.58 – 2.49 (m, 2H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.7, 149.2, 146.3, 145.1, 143.7, 139.9, 137.9, 136.2, 130.0, 129.2, 128.4, 128.3, 128.2, 127.4, 126.4, 125.9, 125.8, 125.6, 120.8, 51.6, 43.4, 37.5, 32.2, 28.7.

HRMS (ESI) *m/z*: Calcd for C₂₉H₃₀N₂NaO⁺ [*M* + Na]⁺ : 445.2250; Found 445.2252.



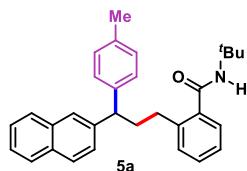
***N*-(*tert*-butyl)-2-(3-((8*R*,9*S*,13*S*,14*S*)-13-methyl-17-oxo-7,8,9,11,12,13,14,15,16,17-decahydro-6*H*-cyclopenta[*a*]phenanthren-3-yl)-3-phenylpropyl)benzamide**

Light yellow liquid (39.4 mg, 36% yield). silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1. dr = 2.8:1

¹H NMR (400 MHz, CDCl₃) δ 7.30 – 7.27 (m, 3H), 7.26 – 7.24 (m, 3H), 7.19 – 7.13 (m, 4H), 7.10 – 7.03 (m, 1H), 6.98 – 6.98 (m, 1H), 5.48 – 5.28 (s, 1H), 3.89 (t, *J* = 7.6 Hz, 1H), 2.86 (dd, *J* = 9.2, 4.4 Hz, 2H), 2.73 – 2.69 (m, 2H), 2.48 (dd, *J* = 19.2, 9.2 Hz, 1H), 2.41 – 2.33 (m, 3H), 2.28 – 2.21 (m, 1H), 2.17 – 2.07 (m, 1H), 2.02 – 1.91 (m, 2H), 1.64 – 1.55 (m, 2H), 1.54 – 1.42 (m, 5H), 1.37 (s, 9H), 0.88 (s, 3H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 144.87, 144.83, 142.23, 142.18, 139.8, 137.8, 137.4, 136.31, 136.30, 129.9, 129.3, 128.39, 128.37, 127.9, 126.5, 126.0, 125.8, 125.32, 125.30, 125.17, 125.15, 51.6, 51.1, 50.5, 48.0, 44.3, 38.1, 37.38, 37.35, 35.8, 32.0, 31.6, 29.4, 28.8, 28.7, 26.5, 25.6, 21.5, 13.8.

HRMS (ESI) *m/z*: Calcd for C₃₈H₄₅NNaO₂⁺ [*M* + Na]⁺ : 570.3343; Found 570.3335.



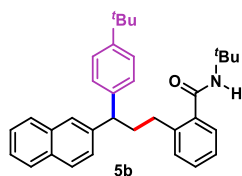
***N*-(*tert*-butyl)-2-(3-(naphthalen-2-yl)-3-(*p*-tolyl)propyl)benzamide**

White solid (58.3 mg, 67% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 114-116 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.78 – 7.70 (m, 4H), 7.43 – 7.33 (m, 3H), 7.28 – 7.24 (m, 2H), 7.20 – 7.13 (m, 4H), 7.08 – 7.04 (m, 2H), 5.46 (s, 1H), 4.08 (t, *J* = 7.6 Hz, 1H), 2.79 – 2.72 (m, 2H), 2.53 – 2.40 (m, 2H), 2.27 (s, 3H), 1.32 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 142.4, 141.5, 139.8, 137.8, 135.6, 133.5, 132.1, 130.0, 129.4, 129.1, 128.0, 127.9, 127.7, 127.4, 126.8, 126.5, 125.80, 125.76, 125.2, 51.6, 51.0, 37.1, 32.0, 28.6, 20.9.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaO⁺ [*M* + Na]⁺ : 458.2460; Found 458.2452.



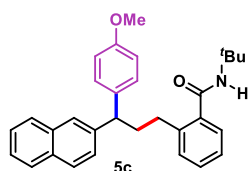
***N*-(*tert*-butyl)-2-(3-(4-(*tert*-butyl)phenyl)-3-(naphthalen-2-yl)propyl)benzamide**

White solid (67.8 mg, 71% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 115-118 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.72 (m, 4H), 7.44 – 7.36 (m, 4H), 7.30 – 7.27 (m, 2H), 7.23 – 7.21(m, 3H), 7.18 – 7.14 (m, 2H), 5.44 (s, 1H), 4.09 (t, *J* = 8.0 Hz, 1H), 2.78 – 2.74 (m, 2H), 2.52 – 2.46 (m, 2H), 1.32 (s, 9H), 1.27 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 148.8, 142.4, 141.5, 139.9, 137.9, 133.6, 132.2, 129.9, 129.4, 128.0, 127.7, 127.54, 127.49, 126.8, 126.6, 126.0, 125.8, 125.3, 125.2, 51.6, 51.1, 37.2, 34.3, 32.0, 31.4, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₄H₃₉NNaO⁺ [*M* + Na]⁺ : 500.2929; Found 500.2944.



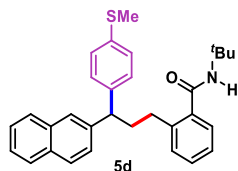
***N*-(*tert*-butyl)-2-(3-(4-methoxyphenyl)-3-(naphthalen-2-yl)propyl)benzamide**

White solid (60.5 mg, 67% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 98-101 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.45 – 7.37 (m, 2H), 7.33 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.30 – 7.26 (m, 2H), 7.23 – 7.20 (m, 2H), 7.18 – 7.14 (m, 2H), 6.83 – 6.79 (m, 2H), 5.47 (s, 1H), 4.07 (t, *J* = 7.6 Hz, 1H), 3.75 (s, 3H), 2.79 – 2.71 (m, 2H), 2.51 – 2.41 (m, 2H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 157.9, 142.6, 139.9, 137.8, 136.7, 133.5, 132.1, 130.0, 129.4, 128.9, 128.0, 127.7, 127.5, 126.7, 126.5, 125.8, 125.7, 125.2, 113.8, 55.2, 51.6, 50.6, 37.3, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaO₂⁺ [*M* + Na]⁺: 474.2404; Found 474.2409.



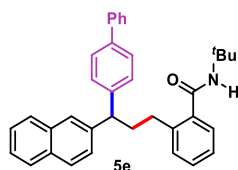
***N*-(*tert*-butyl)-2-(3-(4-(methylthio)phenyl)-3-(naphthalen-2-yl)propyl)benzamide**

White solid (59.8 mg, 64% yield). silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 25/1, m.p: 137-140 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.45 – 7.37 (m, 2H), 7.34 – 7.23 (m, 4H), 7.22 – 7.21 (m, 1H), 7.18 – 7.13 (m, 4H), 5.48 (s, 1H), 4.08 (t, *J* = 7.6 Hz, 1H), 2.81 – 2.69 (m, 2H), 2.51 – 2.43 (m, 2H), 2.41 (s, 3H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 142.0, 141.6, 139.8, 137.8, 135.7, 133.5, 132.1, 130.0, 129.4, 128.5, 128.1, 127.7, 127.5, 127.0, 126.7, 126.5, 125.9, 125.84, 125.82, 125.8, 125.3, 51.6, 50.9, 37.0, 32.0, 28.6, 16.0.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaOS⁺ [*M* + Na]⁺: 490.2175; Found 490.2187.



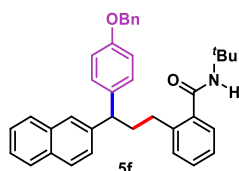
2-(3-([1,1'-biphenyl]-4-yl)-3-(naphthalen-2-yl)propyl)-*N*-(*tert*-butyl)benzamide

White solid (65.6 mg, 66% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 118-120 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.81 – 7.75 (m, 4H), 7.55 – 7.50 (m, 4H), 7.46– 7.40 (m, 4H), 7.39 – 7.37 (m, 3H), 7.31 – 7.28 (m, 3H), 7.19 – 7.17 (m, 2H), 5.47 (s, 1H), 4.17 (s, 1H), 2.84 – 2.76 (m, 2H), 2.58 – 2.49 (m, 2H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 143.7, 142.1, 140.9, 139.8, 139.0, 137.8, 133.5, 132.2, 130.0, 129.4, 128.7, 128.4, 128.1, 127.7, 127.5, 127.2, 127.00, 126.95, 126.8, 126.6, 126.0, 125.89, 125.87, 125.4, 51.6, 51.2, 37.2 32.1, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₆H₃₅NNaO⁺ [M + Na]⁺: 520.2611; Found 520.2621.



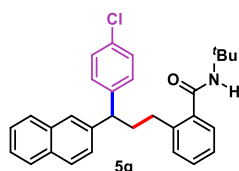
2-(3-(4-(benzyloxy)phenyl)-3-(naphthalen-2-yl)propyl)-N-(tert-butyl)benzamide

White solid (71.7 mg, 68% yield). silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 145-147 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.46 – 7.38 (m, 5H), 7.36 – 7.34 (m, 2H), 7.33 – 7.29 (m, 3H), 7.25 (s, 1H), 7.23 – 7.20 (m, 2H), 7.18 – 7.17 (m, 1H), 6.91 – 6.87 (m, 2H), 5.46 (s, 1H), 5.01 (s, 2H), 4.08 (t, *J* = 7.6 Hz, 1H), 2.81 – 2.70 (m, 2H), 2.51 – 2.40 (m, 2H), 1.34 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 157.2, 142.5, 139.9, 137.9, 137.14, 137.06, 133.5, 132.1, 130.0, 129.4, 129.0, 128.5, 128.1, 127.9, 127.7, 127.50, 127.47, 126.8, 126.6, 125.83, 125.79, 125.3, 114.8, 70.0, 51.6, 50.6, 37.3, 32.1, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₇H₃₇NNaO₂⁺ [M + Na]⁺: 550.2717; Found 550.2736.



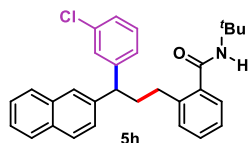
N-(tert-butyl)-2-(3-(4-chlorophenyl)-3-(naphthalen-2-yl)propyl)benzamide

White solid (60.1 mg, 66% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 25/1, m.p: 127-130 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.46 – 7.39 (m, 2H), 7.32 – 7.26 (m, 3H), 7.23 (s, 4H), 7.19 – 7.13 (m, 2H), 5.48 (s, 1H), 4.10 (t, *J* = 7.6 Hz, 1H), 2.79 – 2.68 (m, 2H), 2.53 – 2.40 (m, 2H), 1.34 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 143.1, 141.6, 139.7, 137.8, 133.5, 132.2, 131.9, 130.0, 129.5, 129.4, 128.5, 128.2, 127.7, 127.5, 126.6, 126.0, 125.93, 125.91, 125.5, 51.6, 50.8, 37.1, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₀ClNNaO⁺ [M + Na]⁺: 478.1908; Found 478.1917.



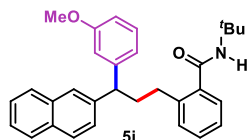
N-(tert-butyl)-2-(3-(3-chlorophenyl)-3-(naphthalen-2-yl)propyl)benzamide

White solid (53.7 mg, 59% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 25/1, m.p: 98-100 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.81 – 7.73 (m, 4H), 7.47 – 7.39 (m, 2H), 7.33 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.31 – 7.27 (m, 3H), 7.20 – 7.11 (m, 5H), 5.48 (s, 1H), 4.10 (t, *J* = 8.0 Hz, 1H), 2.77 – 2.71 (m, 2H), 2.55 – 2.41 (m, 2H), 1.34 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 146.8, 141.3, 139.7, 137.8, 134.2, 133.5, 132.2, 130.1, 129.7, 129.5, 128.3, 128.1, 127.8, 127.5, 126.6, 126.5, 126.4, 126.3, 126.1, 125.99, 125.95, 125.5, 51.6, 51.2, 36.9, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₀ClNNaO⁺ [*M* + Na]⁺: 478.1908; Found 478.1924.



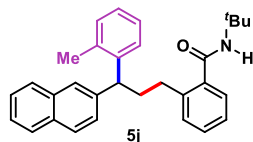
***N*-(tert-butyl)-2-(3-(3-methoxyphenyl)-3-(naphthalen-2-yl)propyl)benzamide**

White solid (49.6 mg, 55% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 25/1, m.p: 108-110 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.78 – 7.70 (m, 4H), 7.43 – 7.34 (m, 3H), 7.28 – 7.24 (m, 2H), 7.20 – 7.12 (m, 3H), 6.92 – 6.84 (m, 2H), 6.69 (dd, *J* = 8.0, 2.4 Hz, 1H), 5.48 (s, 1H), 4.09 (t, *J* = 8.0 Hz, 1H), 3.73 (s, 3H), 2.78 – 2.74 (m, 2H), 2.52 – 2.43 (m, 2H), 1.31 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 159.6, 146.2, 142.0, 139.8, 137.8, 133.5, 132.1, 129.9, 129.4, 129.3, 128.0, 127.7, 127.4, 126.7, 126.5, 125.84, 125.78, 125.3, 120.4, 114.0, 111.2, 55.0, 51.5, 51.4, 36.9, 31.9, 28.6.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaO₂⁺ [*M* + Na]⁺: 474.2404; Found 474.2425.



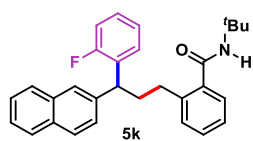
***N*-(tert-butyl)-2-(3-(naphthalen-2-yl)-3-(o-tolyl)propyl)benzamide**

Light yellow solid (30.5 mg, 35% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 25/1, m.p: 107-110 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.76 – 7.70 (m, 3H), 7.65 – 7.65 (m, 1H), 7.44 – 7.37 (m, 3H), 7.32 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.30 – 7.25 (m, 2H), 7.25 – 7.14 (m, 3H), 7.12 – 7.10 (m, 2H), 5.46 (s, 1H), 4.31 (t, *J* = 7.6 Hz, 1H), 2.88 – 2.74 (m, 2H), 2.49 – 2.40 (m, 2H), 2.26 (s, 3H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 142.2, 141.9, 139.9, 137.9, 136.4, 133.5, 132.1, 130.5, 130.0, 129.4, 127.9, 127.7, 127.5, 127.1, 126.9, 126.6, 126.4, 126.11, 126.10, 125.84, 125.77, 125.2, 51.6, 47.1, 37.7, 32.0, 28.7, 19.9.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaO⁺ [*M* + Na]⁺: 458.2454; Found 458.2454.



***N*-(tert-butyl)-2-(3-(2-fluorophenyl)-3-(naphthalen-2-yl)propyl)benzamide**

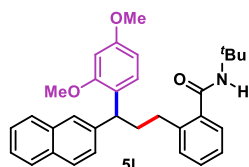
White solid (31.6 mg, 36% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 25/1, m.p: 96-98 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.80 – 7.73 (m, 4H), 7.46 – 7.35 (m, 4H), 7.29 – 7.25 (m, 2H), 7.20 – 7.12 (m, 3H), 7.09 – 7.05 (m, 1H), 7.01 – 6.96 (m, 1H), 5.48 (s, 1H), 4.49 (t, *J* = 7.6 Hz, 1H), 2.85 – 2.73 (m, 2H), 2.57 – 2.43 (m, 2H), 1.35 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 160.7 (d, *J* = 249.5 Hz), 140.9, 139.7, 137.9, 133.5, 132.2, 131.6 (d, *J* = 14.1 Hz), 130.1, 129.5, 128.8 (d, *J* = 5.1 Hz), 128.1, 127.79, 127.75, 127.7, 127.5, 126.8, 126.6, 126.2, 125.91, 125.87, 125.4, 124.2 (d, *J* = 3.0 Hz), 115.4 (d, *J* = 23.2 Hz), 51.7, 43.6 (d, *J* = 2.0 Hz), 36.3, 32.0, 28.7.

¹⁹F NMR (376 MHz, CDCl₃) δ -117.77 (s, 1F).

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₀FNNaO⁺ [*M* + Na]⁺: 462.2204; Found 462.2217.



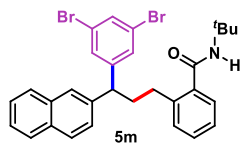
***N*-(*tert*-butyl)-2-(3-(2,4-dimethoxyphenyl)-3-(naphthalen-2-yl)propyl)benzamide**

Light yellow solid (47.2 mg, 49% yield). silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 92-94 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.78 – 7.69 (m, 4H), 7.43 – 7.36 (m, 3H), 7.30 – 7.28 (m, 1H), 7.26 – 7.25 (m, 1H), 7.21 – 7.14 (m, 3H), 6.47 – 6.40 (m, 2H), 5.45 (s, 1H), 4.52 (t, *J* = 7.6 Hz, 1H), 3.77 (s, 3H), 3.74 (s, 3H), 2.82 – 2.71 (m, 2H), 2.47 – 2.34 (m, 2H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.7, 159.1, 158.0, 142.7, 140.0, 137.9, 133.5, 132.0, 130.0, 129.3, 128.3, 127.7, 127.6, 127.4, 127.3, 126.5, 125.9, 125.7, 125.61, 125.57, 125.0, 104.2, 98.7, 55.5, 55.3, 51.6, 43.0, 36.8, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₂H₃₅NNaO₃⁺ [*M* + Na]⁺: 504.2509; Found 504.2525.



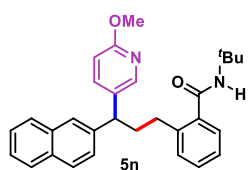
***N*-(*tert*-butyl)-2-(3-(3,5-dibromophenyl)-3-(naphthalen-2-yl)propyl)benzamide**

Light yellow liquid (35.8 mg, 31% yield). silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 30/1.

¹H NMR (400 MHz, CDCl₃) δ 7.83 – 7.77 (m, 3H), 7.72 – 7.72 (m, 1H), 7.49 – 7.42 (m, 3H), 7.36 (d, *J* = 1.6 Hz, 1H), 7.32 – 7.28 (m, 3H), 7.25 (s, 1H), 7.21 – 7.17 (m, 1H), 7.14 – 7.12 (m, 1H), 5.50 (s, 1H), 4.06 (t, *J* = 7.6 Hz, 1H), 2.77 – 2.70 (m, 2H), 2.54 – 2.38 (m, 2H), 1.36 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.5, 148.9, 140.3, 139.6, 137.7, 133.5, 132.4, 131.9, 130.1, 129.9, 129.6, 128.5, 127.8, 127.6, 126.6, 126.31, 126.25, 126.2, 126.1, 125.7, 122.9, 51.7, 51.0, 36.8, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₀H₂₉Br₂NNaO⁺ [*M* + Na]⁺: 600.0508; Found 600.0526.



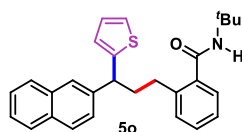
***N*-(*tert*-butyl)-2-(3-(6-methoxypyridin-3-yl)-3-(naphthalen-2-yl)propyl)benzamide**

White solid (32.6 mg, 36% yield). silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 82-84 °C.

¹H NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 2.4 Hz, 1H), 7.79 – 7.71 (m, 4H), 7.49 (dd, *J* = 8.4, 2.4 Hz, 1H), 7.46 – 7.39 (m, 2H), 7.33 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.29 – 7.25 (m, 2H), 7.20 – 7.14 (m, 2H), 6.66 (d, *J* = 8.4 Hz, 1H), 5.50 (s, 1H), 4.07 (t, *J* = 7.2 Hz, 1H), 3.89 (s, 3H), 2.81 – 2.70 (m, 2H), 2.55 – 2.39 (m, 2H), 1.34 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 162.8, 145.9, 141.6, 139.7, 138.3, 137.8, 133.5, 132.7, 132.2, 130.1, 129.5, 128.2, 127.7, 127.5, 126.6, 126.5, 126.0, 125.9, 125.8, 125.5, 110.8, 53.3, 51.6, 48.1, 36.9, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₂N₂NaO₂⁺ [*M* + Na]⁺: 475.2356; Found 475.2379.



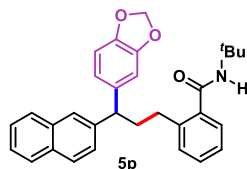
***N*-(*tert*-butyl)-2-(3-(naphthalen-2-yl)-3-(thiophen-2-yl)propyl)benzamide**

Light yellow liquid (20.5 mg, 24% yield). silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 20/1.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.75 (m, 3H), 7.69 – 7.69 (m, 1H), 7.46 – 7.38 (m, 2H), 7.35 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.32 – 7.28 (m, 1H), 7.25 – 7.24 (m, 1H), 7.22 – 7.13 (m, 3H), 7.10 – 7.09 (m, 1H), 6.94 (dd, *J* = 5.0, 1.3 Hz, 1H), 5.47 (s, 1H), 4.18 (t, *J* = 8.0 Hz, 1H), 2.85 – 2.67 (m, 2H), 2.58 – 2.37 (m, 2H), 1.35 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 145.6, 141.9, 139.8, 137.8, 133.5, 132.3, 130.0, 129.4, 128.2, 127.9, 127.7, 127.5, 126.6, 126.4, 126.2, 125.88, 125.86, 125.4, 125.37, 120.4, 51.6, 47.2, 37.5, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₂₈H₂₉NNaOS⁺ [*M* + Na]⁺: 450.1862; Found 450.1873.



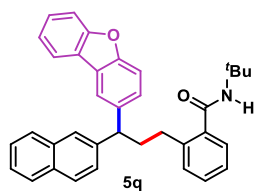
2-(3-(benzo[d][1,3]dioxol-5-yl)-3-(naphthalen-2-yl)propyl)-*N*-(*tert*-butyl)benzamide

White solid (59.5 mg, 64% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 112-114 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.45 – 7.37 (m, 2H), 7.33 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.30 – 7.26 (m, 2H), 7.19 – 7.15 (m, 2H), 6.79 – 6.77 (m, 2H), 6.73 – 6.70 (m, 1H), 5.87 (s, 2H), 5.48 (s, 1H), 4.04 (t, *J* = 7.6 Hz, 1H), 2.81 – 2.70 (m, 2H), 2.51 – 2.37 (m, 2H), 1.34 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 147.7, 145.8, 142.3, 139.9, 138.7, 137.9, 133.5, 132.2, 130.0, 129.4, 128.1, 127.7, 127.5, 126.7, 126.6, 125.9, 125.8, 125.7, 125.3, 121.0, 108.5, 108.1, 100.8, 51.6, 51.1, 37.2, 32.0, 28.7.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₁NNaO₃⁺ [*M* + Na]⁺: 488.2196; Found 488.2209.



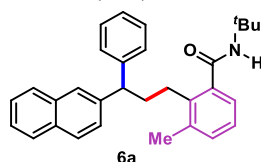
***N*-(*tert*-butyl)-2-(3-(dibenzo[*b,d*]furan-2-yl)-3-(naphthalen-2-yl)propyl)benzamide**

White solid (13.3 mg, 13% yield). silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 140-143 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.94 – 7.91 (m, 2H), 7.82 – 7.73 (m, 4H), 7.53 – 7.51 (m, 1H), 7.47 – 7.37 (m, 6H), 7.32 – 7.28 (m, 3H), 7.20 – 7.16 (m, 2H), 5.47 (s, 1H), 4.31 (t, *J* = 7.6 Hz, 1H), 2.87 – 2.76 (m, 2H), 2.63 – 2.57 (m, 2H), 1.30 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.6, 156.5, 154.8, 142.5, 139.9, 139.3, 137.8, 133.6, 132.2, 130.1, 129.5, 128.2, 127.8, 127.5, 126.9, 126.8, 126.6, 125.91, 125.90, 125.86, 125.4, 124.29, 124.27, 122.5, 120.7, 119.8, 111.6, 111.5, 51.6, 51.3, 37.6, 32.2, 28.6.

HRMS (ESI) *m/z*: Calcd for C₃₆H₃₃NNaO₂⁺ [*M* + Na]⁺: 534.2404; Found 534.2403.



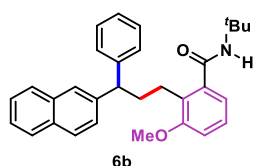
***N*-(*tert*-butyl)-3-methyl-2-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

White solid (57.5 mg, 66% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 104-106 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.80 – 7.72 (m, 4H), 7.45 – 7.37 (m, 3H), 7.34 – 7.32 (m, 2H), 7.28 – 7.24 (m, 2H), 7.19 – 7.04 (m, 4H), 5.46 (s, 1H), 4.16 (t, *J* = 8.0 Hz, 1H), 2.72 – 2.65 (m, 2H), 2.51 – 2.33 (m, 2H), 2.18 (s, 3H), 1.37 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 170.3, 144.6, 142.2, 138.7, 138.0, 137.1, 133.5, 132.2, 131.3, 128.4, 128.1, 128.0, 127.7, 127.5, 126.8, 126.2, 125.9, 125.8, 125.7, 125.3, 124.2, 52.3, 51.6, 36.0, 29.4, 28.8, 19.3.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaO⁺ [*M* + Na]⁺: 458.2454; Found 458.2455.



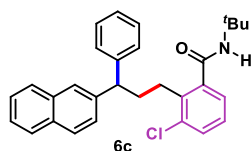
***N*-(*tert*-butyl)-3-methoxy-2-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

White solid (56.9 mg, 63% yield). silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 118-121 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.80 – 7.70 (m, 4H), 7.43 – 7.32 (m, 5H), 7.27 – 7.22 (m, 2H), 7.16 – 7.10 (m, 2H), 6.86 – 6.80 (m, 2H), 5.43 (s, 1H), 4.17 (t, *J* = 7.6 Hz, 1H), 3.76 (s, 3H), 2.72 – 2.68 (m, 2H), 2.51 – 2.36 (m, 2H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.4, 157.7, 145.0, 142.5, 139.4, 133.5, 132.1, 128.5, 128.3, 128.1, 127.8, 127.7, 127.5, 127.1, 126.8, 126.0, 125.9, 125.7, 125.1, 118.4, 111.1, 55.5, 52.1, 51.6, 35.7, 28.7, 26.4.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaO₂⁺ [*M* + Na]⁺: 474.2404; Found 474.2418.



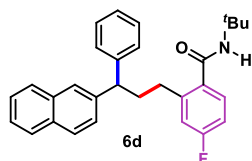
***N*-(*tert*-butyl)-3-chloro-2-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

White solid (64.6 mg, 71% yield). silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 52-54 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.43 – 7.31 (m, 6H), 7.25 (t, *J* = 7.6 Hz, 2H), 7.16 – 7.04 (m, 3H), 5.45 (s, 1H), 4.19 (t, *J* = 7.6 Hz, 1H), 2.83 – 2.76 (m, 2H), 2.55 – 2.39 (m, 2H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 168.6, 144.4, 142.0, 140.0, 137.6, 135.1, 133.5, 132.2, 130.5, 128.4, 128.04, 127.96, 127.7, 127.5, 127.0, 126.9, 126.1, 125.9, 125.8, 125.2, 124.8, 52.2, 51.8, 35.3, 30.2, 28.6.

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₀ClNNaO⁺ [*M* + Na]⁺: 478.1914; Found 478.1915.



***N*-(*tert*-butyl)-4-fluoro-2-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

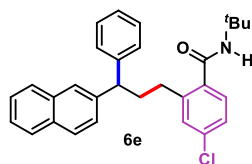
White solid (51.0 mg, 58% yield). silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 123-125 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.78 – 7.70 (m, 4H), 7.43 – 7.29 (m, 4H), 7.28 – 7.27 (m, 1H), 7.25 – 7.11 (m, 4H), 6.85 – 6.78 (m, 2H), 5.50 (s, 1H), 4.09 (t, *J* = 8.0 Hz, 1H), 2.75 – 2.71 (m, 2H), 2.52 – 2.39 (m, 2H), 1.29 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 168.7, 162.9 (d, *J* = 249.5 Hz), 144.3, 142.9 (d, *J* = 7.1 Hz), 141.9, 133.9 (d, *J* = 3.0 Hz), 133.4, 132.1, 128.4, 128.1, 127.9, 127.6, 127.4, 126.6, 126.2, 125.8, 125.3, 116.6 (d, *J* = 21.2 Hz), 112.5 (d, *J* = 21.2 Hz), 51.6, 51.3, 36.7, 32.0, 28.5.

¹⁹F NMR (376 MHz, CDCl₃) δ -111.39 (s, 1F).

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₀FNNaO⁺ [*M* + Na]⁺: 462.2204; Found 462.2216.



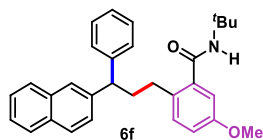
***N*-(*tert*-butyl)-4-chloro-2-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

White solid (45.5 mg, 50% yield). silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 102-104 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.44 – 7.35 (m, 2H), 7.33 (dd, *J* = 8.4, 1.6 Hz, 1H), 7.30 – 7.23 (m, 4H), 7.17 – 7.10 (m, 4H), 5.49 (s, 1H), 4.09 (t, *J* = 7.6 Hz, 1H), 2.73 – 2.68 (m, 2H), 2.51 – 2.38 (m, 2H), 1.30 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 168.6, 144.3, 142.0, 141.8, 136.1, 135.0, 133.5, 132.1, 129.9, 128.5, 128.1, 127.9, 127.7, 127.5, 126.6, 126.2, 125.91, 125.87, 125.3, 51.7, 51.4, 36.7, 31.9, 28.6.

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₀ClNNaO⁺ [*M* + Na]⁺: 478.1908; Found 478.1910.



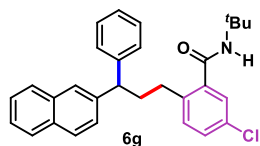
***N*-(*tert*-butyl)-5-methoxy-2-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

White solid (51.4 mg, 57% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 112-114 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.45 – 7.37 (m, 2H), 7.34 (dd, *J* = 8.6, 1.6 Hz, 1H), 7.31 – 7.23 (m, 4H), 7.19 – 7.13 (m, 1H), 7.07 – 7.04 (m, 1H), 6.84 – 6.81 (m, 2H), 5.44 (s, 1H), 4.10 (t, *J* = 7.6 Hz, 1H), 3.77 (s, 3H), 2.71 – 2.65 (m, 2H), 2.52 – 2.39 (m, 2H), 1.32 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.3, 157.5, 144.6, 142.2, 138.7, 133.5, 132.1, 131.5, 131.1, 128.4, 128.04, 128.01, 127.7, 127.5, 126.8, 126.1, 125.9, 125.8, 125.3, 114.8, 112.3, 55.4, 51.6, 51.4, 37.2, 31.2, 28.6.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaO₂⁺ [*M* + Na]⁺: 474.2404; Found 474.2417.



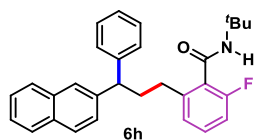
***N*-(*tert*-butyl)-5-chloro-2-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

White solid (32.8 mg, 36% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, m.p: 141-144 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.71 (m, 4H), 7.46 – 7.38 (m, 2H), 7.34 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.30 – 7.23 (m, 6H), 7.18 – 7.14 (m, 1H), 7.08 – 7.06 (m, 1H), 5.44 (s, 1H), 4.09 (t, *J* = 7.6 Hz, 1H), 2.75 – 2.68 (m, 2H), 2.52 – 2.39 (m, 2H), 1.32 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 168.1, 144.4, 142.0, 139.2, 138.4, 133.5, 132.2, 131.49, 131.47, 129.4, 128.5, 128.1, 128.0, 127.7, 127.5, 126.7, 126.6, 126.3, 125.94, 125.91, 125.4, 51.9, 51.4, 36.9, 31.5, 28.6.

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₀ClNNaO⁺ [*M* + Na]⁺: 478.1908; Found 478.1919.



***N*-(*tert*-butyl)-2-fluoro-6-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

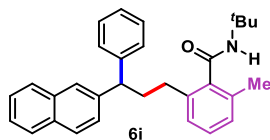
White solid (52.7 mg, 60% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 145-147 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.80 – 7.72 (m, 4H), 7.46 – 7.39 (m, 2H), 7.35 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.31 – 7.27 (m, 3H), 7.27 – 7.14 (m, 3H), 6.96 – 6.87 (m, 2H), 5.47 (s, 1H), 4.12 (t, *J* = 8.0 Hz, 1H), 2.76 – 2.63 (m, 2H), 2.56 – 2.44 (m, 2H), 1.33 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 164.2, 158.9 (d, *J* = 246.4 Hz), 144.4, 142.4 (d, *J* = 3.0 Hz), 142.0, 133.5, 132.2, 130.1 (d, *J* = 8.1 Hz), 128.5, 128.2, 128.0, 127.7, 127.5, 126.7, 126.3, 125.9 (d, *J* = 2.0 Hz), 125.4, 125.2 (d, *J* = 2.0 Hz), 113.3, 113.1, 52.1, 51.5, 36.9, 31.8 (d, *J* = 2.0 Hz), 28.7

¹⁹F NMR (376 MHz, CDCl₃) δ -117.22 (s, 1F).

HRMS (ESI) *m/z*: Calcd for C₃₀H₃₀FNNaO⁺ [*M* + Na]⁺: 462.2204; Found 462.2210.



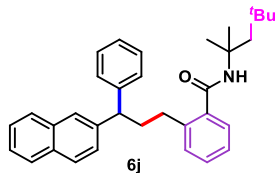
***N*-(*tert*-butyl)-2-methyl-6-(3-(naphthalen-2-yl)-3-phenylpropyl)benzamide**

White solid (41.8 mg, 48% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 117-119 °C.

¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.72 (m, 4H), 7.45 – 7.34 (m, 3H), 7.32 – 7.23 (m, 4H), 7.18 – 7.13 (m, 2H), 7.00 (dd, *J* = 8.0, 2.4 Hz, 2H), 5.31 (s, 1H), 4.12 (t, *J* = 7.6 Hz, 1H), 2.69 – 2.58 (m, 2H), 2.56 – 2.46 (m, 2H), 2.32 (s, 3H), 1.27 (s, 9H).

¹³C NMR (101 MHz, CDCl₃) δ 169.2, 144.6, 142.2, 138.4, 138.3, 134.0, 133.5, 132.2, 128.47, 128.45, 128.1, 128.0, 127.72, 127.67, 127.5, 126.7, 126.4, 126.2, 125.89, 125.85, 125.3, 51.63, 51.61, 37.3, 32.0, 28.6, 19.0.

HRMS (ESI) *m/z*: Calcd for C₃₁H₃₃NNaO⁺ [*M* + Na]⁺: 458.2454; Found 458.2441.



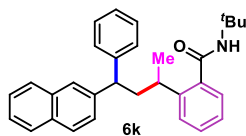
2-(3-(naphthalen-2-yl)-3-phenylpropyl)-*N*-(2,4,4-trimethylpentan-2-yl)benzamide

White solid (35.4 mg, 37% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 25/1, m.p: 130-132 °C.

¹H NMR (400 MHz, Chloroform-*d*) δ 7.80 – 7.72 (m, 4H), 7.45 – 7.39 (m, 2H), 7.37 – 7.35 (m, 1H), 7.32 – 7.28 (m, 4H), 7.27 – 7.25 (m, 2H), 7.19 – 7.13 (m, 3H), 5.48 (s, 1H), 4.13 (t, *J* = 7.6 Hz, 1H), 2.80 – 2.76 (m, 2H), 2.55 – 2.44 (m, 2H), 1.76 (s, 2H), 1.38 (s, 6H), 0.98 (s, 9H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 169.2, 144.6, 142.2, 140.1, 137.9, 133.5, 132.2, 130.1, 129.4, 128.4, 128.1, 128.0, 127.7, 127.5, 126.8, 126.3, 126.2, 126.0, 125.9, 125.8, 125.3, 55.7, 51.9, 51.5, 37.2, 32.0, 31.6, 31.5, 28.94, 28.92.

HRMS (ESI) *m/z*: Calcd for C₃₄H₄₀NO⁺ [*M* + H]⁺: 478.3104; Found 478.3080.



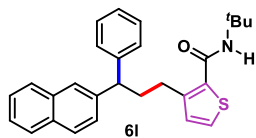
***N*-(*tert*-butyl)-2-(4-(naphthalen-2-yl)-4-phenylbutan-2-yl)benzamide**

Light yellow liquid (49.6 mg, 57% yield). silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 15/1. dr = 1.5:1

¹H NMR (400 MHz, Chloroform-*d*) δ 7.77 – 7.66 (m, 4H), 7.43 – 7.36 (m, 4H), 7.25 – 7.18 (m, 1H), 7.25 – 7.18 (m, 6H), 7.15 – 7.10 (m, 1H), 5.20 – 5.08 (s, 1H), 4.08 – 3.99 (m, 1H), 3.11 – 3.00 (m, 1H), 2.64 – 2.39 (m, 2H), 1.34 (d, *J* = 6.8 Hz, 3H), 1.09 (s, 5H), 0.99 (s, 4H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 169.5, 169.4, 144.8, 144.5, 144.4, 144.2, 142.2, 141.7, 138.3, 138.1, 133.53, 133.47, 132.2, 132.1, 129.6, 128.5, 128.4, 128.10, 128.06, 127.9, 127.8, 127.7, 127.6, 127.5, 127.4, 126.64, 126.60, 126.5, 126.2, 126.1, 125.9, 125.8, 125.7, 125.4, 125.3, 51.4, 51.2, 49.1, 49.0, 42.7, 42.4, 33.82, 33.78, 28.3, 28.2, 23.6, 23.3.

HRMS (ESI) m/z : Calcd for $C_{31}H_{33}NNaO^+$ $[M + Na]^+$: 458.2454; Found 458.2450.



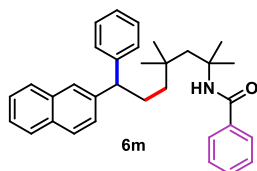
***N*-(*tert*-butyl)-3-(3-(naphthalen-2-yl)-3-phenylpropyl)thiophene-2-carboxamide**

Light pink solid (44.4 mg, 52% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 160-163 °C.

1H NMR (400 MHz, $CDCl_3$) δ 7.80 – 7.72 (m, 4H), 7.46 – 7.38 (m, 2H), 7.34 (dd, J = 8.8, 2.0 Hz, 1H), 7.31 – 7.27 (m, 3H), 7.27 – 7.24 (m, 1H), 7.21 – 7.14 (m, 2H), 6.86 (d, J = 5.1 Hz, 1H), 5.53 (s, 1H), 4.12 (t, J = 7.6 Hz, 1H), 2.93 – 2.86 (m, 2H), 2.57 – 2.43 (m, 2H), 1.34 (s, 9H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.3, 144.5, 144.4, 142.0, 133.5, 132.5, 132.2, 130.5, 128.5, 128.1, 128.0, 127.7, 127.5, 126.7, 126.2, 125.93, 125.91, 125.7, 125.4, 51.8, 51.1, 36.1, 28.8, 28.0.

HRMS (ESI) m/z : Calcd for $C_{28}H_{29}NNaOS^+$ $[M + Na]^+$: 450.1862; Found 450.1860.



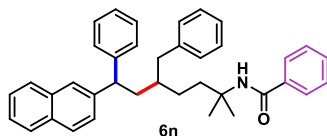
***N*-(2,4,4-trimethyl-7-(naphthalen-2-yl)-7-phenylheptan-2-yl)benzamide**

Colourless liquid (25.1 mg, 27% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 20/1.

1H NMR (400 MHz, Chloroform- d) δ 7.79 – 7.71 (m, 3H), 7.67 (d, J = 2.0 Hz, 1H), 7.64 – 7.61 (m, 2H), 7.47 – 7.31 (m, 7H), 7.26 (s, 1H), 7.25 (s, 2H), 7.19 – 7.13 (m, 1H), 5.85 (s, 1H), 3.92 (t, J = 7.6 Hz, 1H), 2.21 – 2.07 (m, 2H), 1.864 – 1.861 (m, 2H), 1.46 (s, 6H), 1.35 – 1.31 (m, 2H), 1.02 (s, 6H).

^{13}C NMR (101 MHz, Chloroform- d) δ 166.5, 145.0, 142.5, 136.0, 133.5, 132.1, 131.0, 128.5, 128.4, 128.0, 127.9, 127.7, 127.5, 126.6, 126.52, 126.49, 126.1, 125.9, 125.8, 125.3, 55.4, 52.2, 49.5, 43.1, 34.2, 30.0, 29.40, 29.37, 28.6, 28.5.

HRMS (ESI) m/z : Calcd for $C_{33}H_{37}NNaO$ $[M + Na]^+$: 486.2767; Found 486.2787.



***N*-benzyl-2-methyl-7-(naphthalen-2-yl)-7-phenylheptan-2-ylbenzamide**

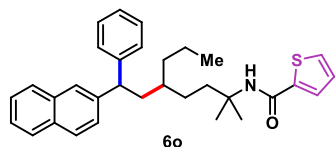
Colourless liquid (26.3 mg, 25% yield); silica gelcolumn chromatography with eluent of petroleum ether/ethyl acetate = 15/1, dr=1:1.05.

1H NMR (400 MHz, Chloroform- d) δ 7.77 – 7.71 (m, 2H), 7.68 – 7.63 (m, 3H), 7.51 – 7.31 (m, 6H), 7.25 – 7.12 (m, 9H), 7.05 – 7.02 (m, 2H), 5.74 (d, J = 7.6 Hz, 1H), 4.20 – 4.14 (m, 1H), 2.70 – 2.57 (m, 2H), 2.21 – 1.99 (m, 2H), 1.93 – 1.76 (m, 2H), 1.67 (dt, J = 12.8, 6.4 Hz, 1H), 1.41 – 1.30 (m, 8H).

^{13}C NMR (101 MHz, Chloroform- d) δ 166.7, 145.2, 144.4, 142.5, 141.7, 140.9, 140.9, 135.8, 133.5, 133.4, 132.1, 131.09, 131.06, 129.20, 129.18, 128.49, 128.46, 128.42, 128.37, 128.17, 128.15, 128.06, 128.0, 127.95, 127.89, 127.70, 127.67, 127.50, 127.46, 126.9, 126.68, 126.66, 126.10, 126.09, 125.91,

125.90, 125.86, 125.78, 125.74, 125.72, 125.30, 125.28, 54.1, 48.7, 48.5, 40.4, 40.3, 39.4, 39.1, 37.1, 36.0, 35.7, 27.22, 27.17, 27.14, 27.10, 27.0, 26.8.

HRMS (ESI) m/z : Calcd for $C_{38}H_{39}NNaO$ $[M + Na]^+$: 548.2924; Found 548.2912.



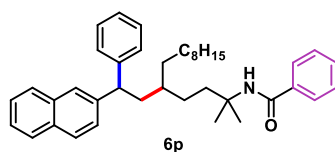
***N*-(2-methyl-5-(2-(naphthalen-2-yl)-2-phenylethyl)octan-2-yl)thiophene-2-carboxamide**

Colourless liquid (32.9 mg, 34% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 15/1, dr = 1:1.07.

1H NMR (400 MHz, Chloroform- d) δ 7.79 – 7.65 (m, 4H), 7.45 – 7.34 (m, 5H), 7.32 – 7.23 (m, 2H), 7.24 (dd, J = 4.8, 2.0 Hz, 2H), 7.18 – 7.12 (m, 1H), 7.05 (td, J = 5.2, 3.6 Hz, 1H), 5.66 (s, 1H), 4.17 (td, J = 8.0, 5.2 Hz, 1H), 2.22 – 1.97 (m, 2H), 1.85 – 1.66 (m, 2H), 1.42 – 1.38 (m, 6H), 1.34 – 1.23 (m, 7H), 0.83 (td, J = 7.2, 2.4 Hz, 3H).

^{13}C NMR (101 MHz, Chloroform- d) δ 161.0, 145.3, 144.8, 142.7, 142.3, 140.5, 133.5, 132.1, 129.38, 129.36, 128.4, 128.01, 127.97, 127.94, 127.68, 127.66, 127.48, 127.45, 127.36, 127.3, 126.8, 126.7, 126.1, 126.0, 125.8, 125.3, 54.5, 48.64, 48.57, 39.7, 39.6, 36.4, 36.0, 35.9, 35.8, 34.7, 34.5, 27.17, 27.15, 27.12, 27.05, 26.9, 19.6, 19.5, 14.5.

HRMS (ESI) m/z : Calcd for $C_{32}H_{37}NNaOS$ $[M + Na]^+$: 506.2488; Found 506.2510.



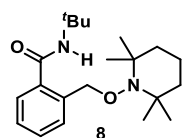
***N*-(2-methyl-5-(2-(naphthalen-2-yl)-2-phenylethyl)tetradecan-2-yl)benzamide**

Colourless liquid (23.6 mg, 21% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 25/1, dr=1:1.05.

1H NMR (400 MHz, Chloroform- d) δ 7.79 – 7.65 (m, 6H), 7.51 – 7.39 (m, 5H), 7.37 – 7.27 (m, 3H), 7.25 – 7.22 (m, 2H), 7.18 – 7.13 (m, 1H), 5.80 (s, 1H), 4.17 (td, J = 7.6, 4.0 Hz, 1H), 2.16 – 1.98 (m, 2H), 1.86 – 1.66 (m, 2H), 1.43 – 1.40 (m, 6H), 1.35 – 1.20 (m, 19H), 0.90 – 0.86 (m, 3H).

^{13}C NMR (101 MHz, Chloroform- d) δ 166.7, 145.2, 144.9, 142.7, 142.4, 136.0, 133.5, 132.0, 131.07, 131.05, 128.50, 128.48, 128.4, 128.01, 127.98, 127.96, 127.7, 127.6, 127.5, 126.80, 126.75, 126.7, 126.6, 126.1, 126.03, 126.01, 125.9, 125.8, 125.3, 54.1, 48.7, 48.6, 39.72, 39.65, 36.3, 35.9, 34.8, 34.7, 33.5, 33.3, 31.9, 30.0, 30.0, 29.7, 29.62, 29.61, 29.3, 27.3, 27.2, 27.1, 27.03, 26.98, 26.4, 26.3, 22.7, 14.1.

HRMS (ESI) m/z : Calcd for $C_{40}H_{51}NNaO$ $[M + Na]^+$: 584.3863; Found 584.3880.



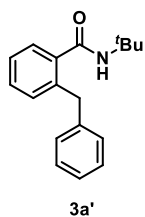
***N*-(*tert*-butyl)-2-(((2,2,6,6-tetramethylpiperidin-1-yl)oxy)methyl)benzamide**

White solid (17.3 mg, 25% yield); silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 20/1, m.p: 113-115 °C.

1H NMR (400 MHz, $CDCl_3$) δ 7.61 (d, J = 7.6 Hz, 1H), 7.40 – 7.35 (m, 2H), 7.28 – 7.24 (m, 1H), 5.80 (s, 1H), 5.00 (s, 2H), 1.45 (s, 15H), 1.19 – 1.15 (m, 12H).

¹³C NMR (101 MHz, CDCl₃) δ 168.9, 136.5, 136.2, 129.4, 128.7, 127.0, 126.6, 76.0, 59.8, 51.7, 39.7, 33.1, 28.8, 20.4, 17.1.

HRMS (ESI) *m/z*: Calcd for C₂₁H₃₅N₂O₂⁺ [M + H]⁺: 347.2693; Found 347.2682.



2-benzyl-*N*-(*tert*-butyl)benzamide

Yellow oil; silica gel column chromatography with eluent of petroleum ether/ethyl acetate = 25/1.

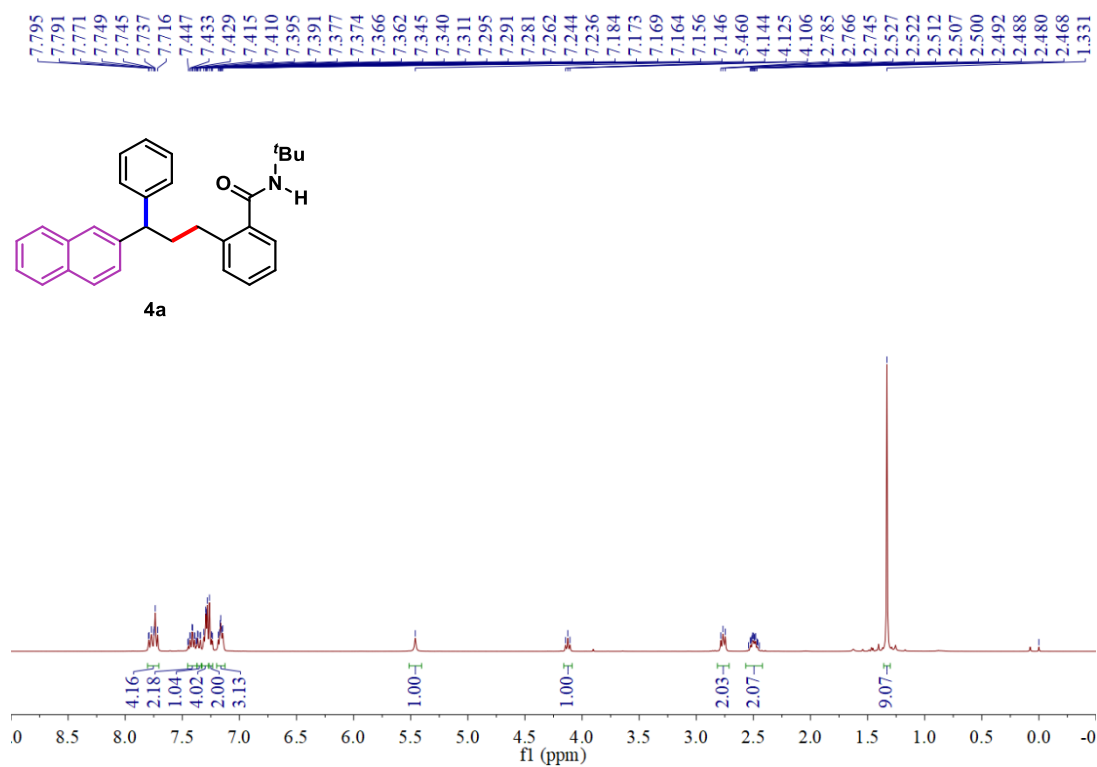
¹H NMR (400 MHz, Chloroform-*d*) δ 7.35 – 7.20 (m, 5H), 7.18 – 7.13 (m, 4H), 5.47 (s, 1H), 4.17 (s, 2H), 1.28 (s, 9H).

¹³C NMR (101 MHz, Chloroform-*d*) δ 169.3, 140.9, 137.9, 137.8, 130.9, 129.5, 128.7, 128.3, 127.1, 126.2, 125.9, 51.5, 38.6, 28.5.

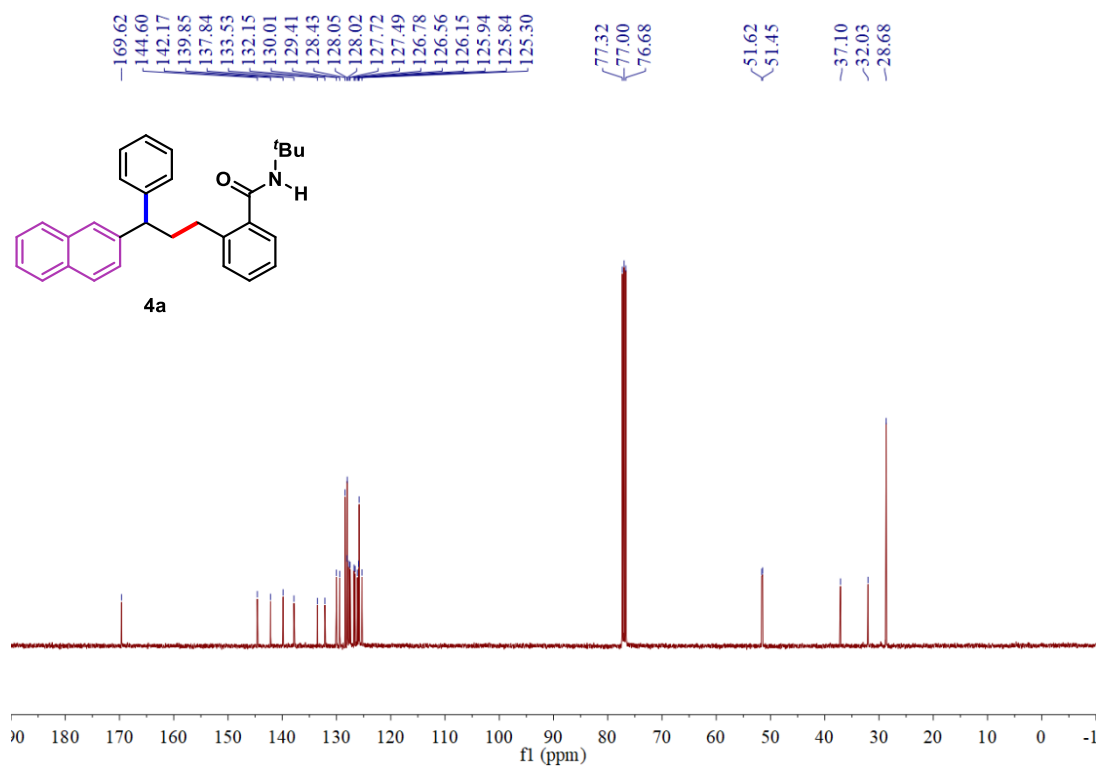
HRMS (ESI) *m/z*: Calcd for C₂₁H₃₅N₂O₂⁺ [M + H]⁺: 290.1515; Found 290.1519.

VIII. NMR Spectra of Products

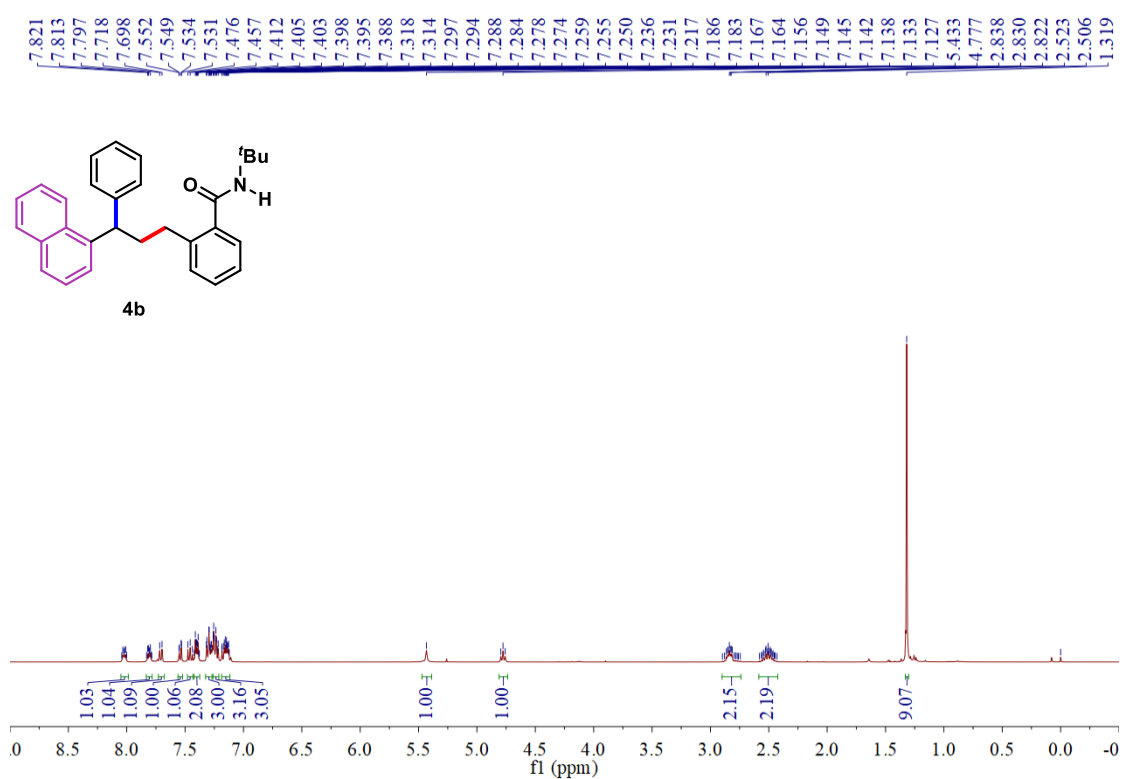
^1H NMR (400 MHz, CDCl_3) of 4a



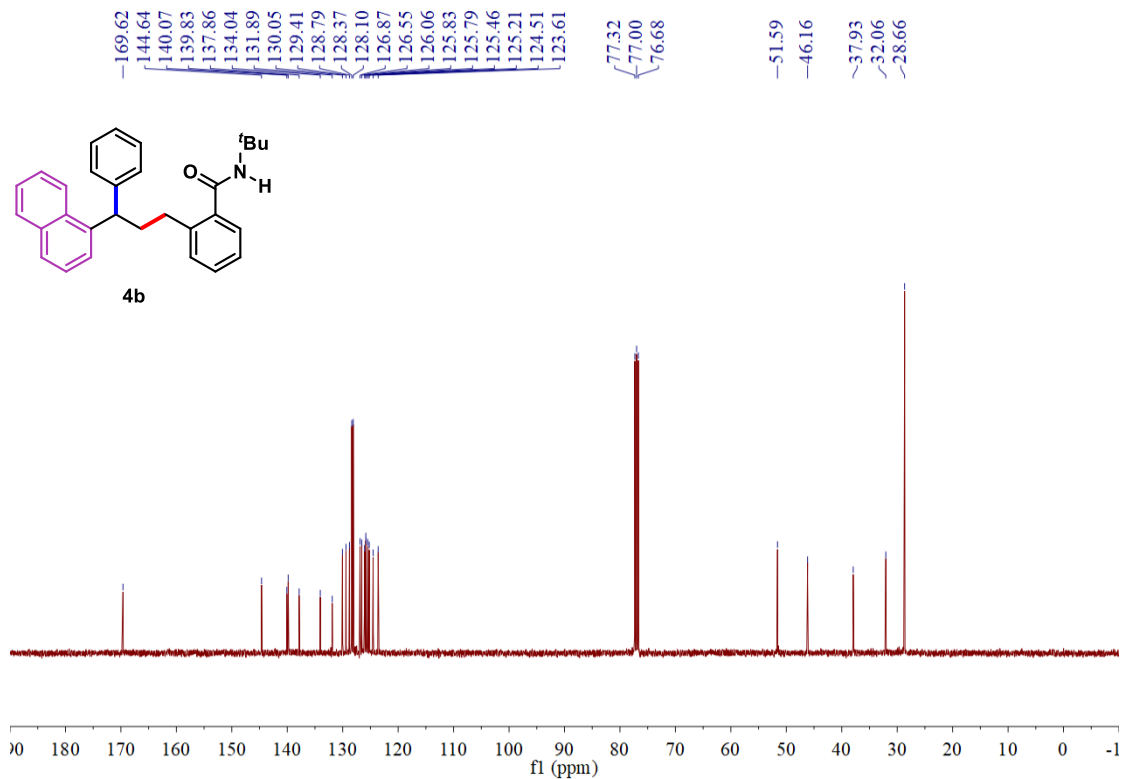
^{13}C NMR (101 MHz, CDCl_3) of 4a



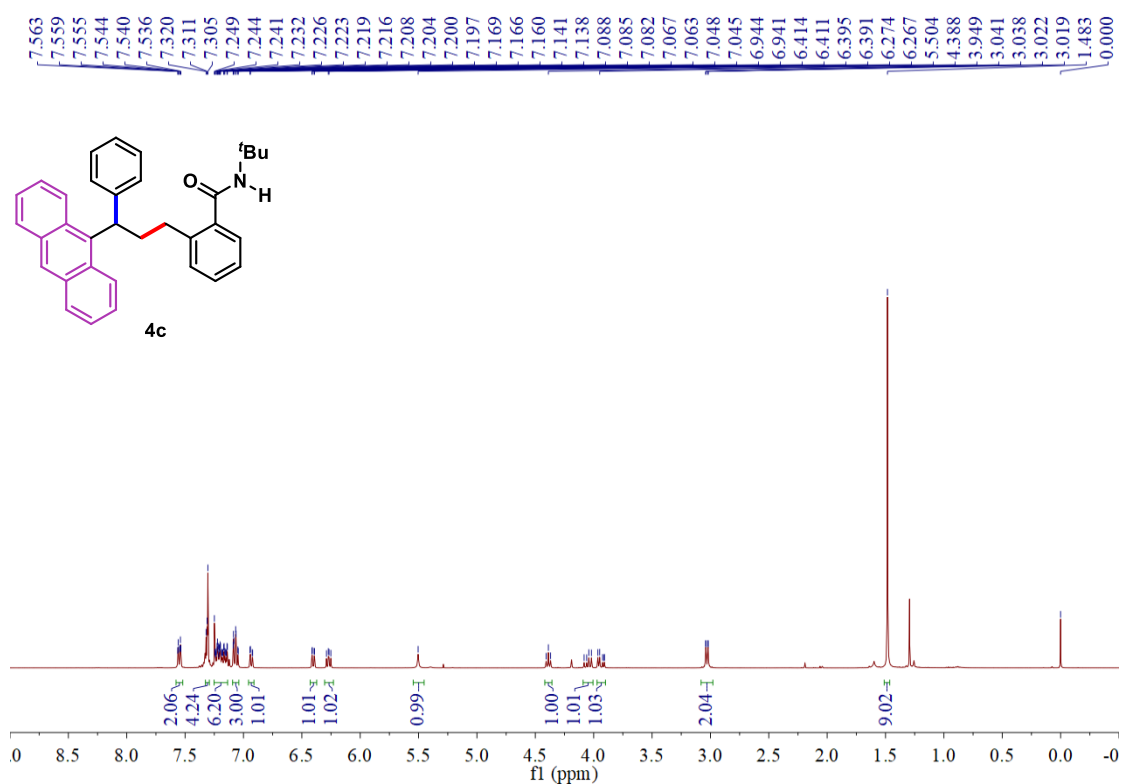
^1H NMR (400 MHz, CDCl_3) of 4b



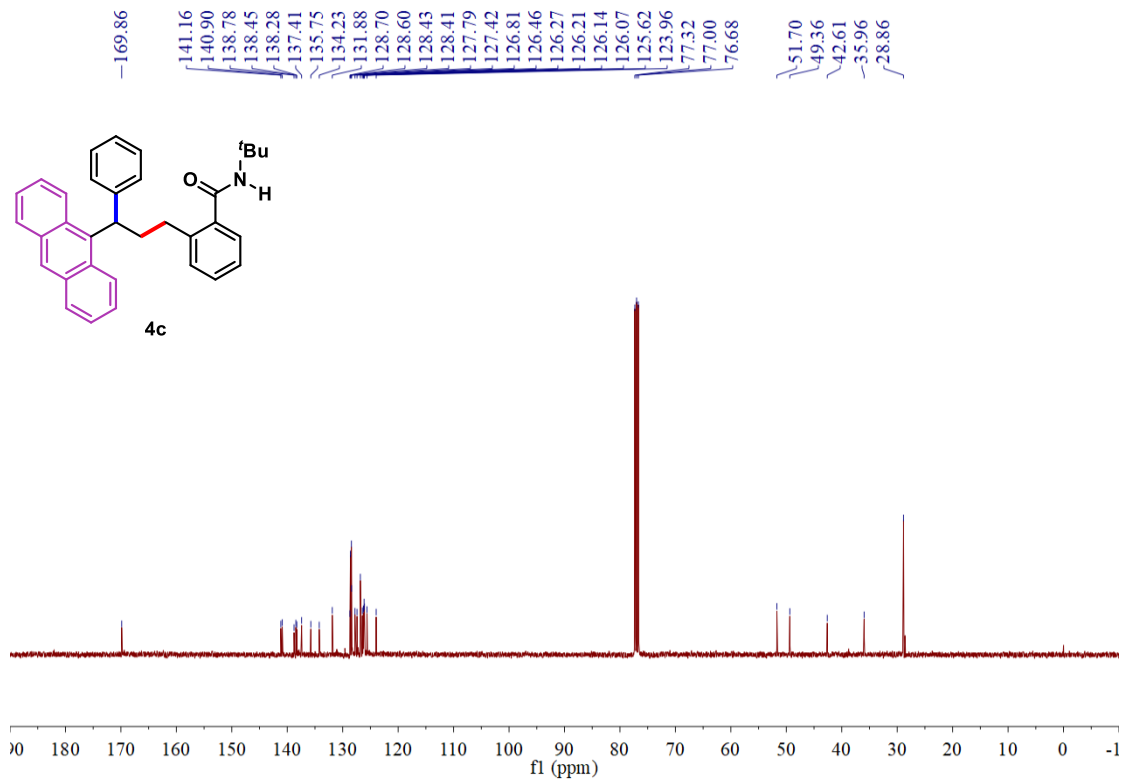
^{13}C NMR (101 MHz, CDCl_3) of 4b



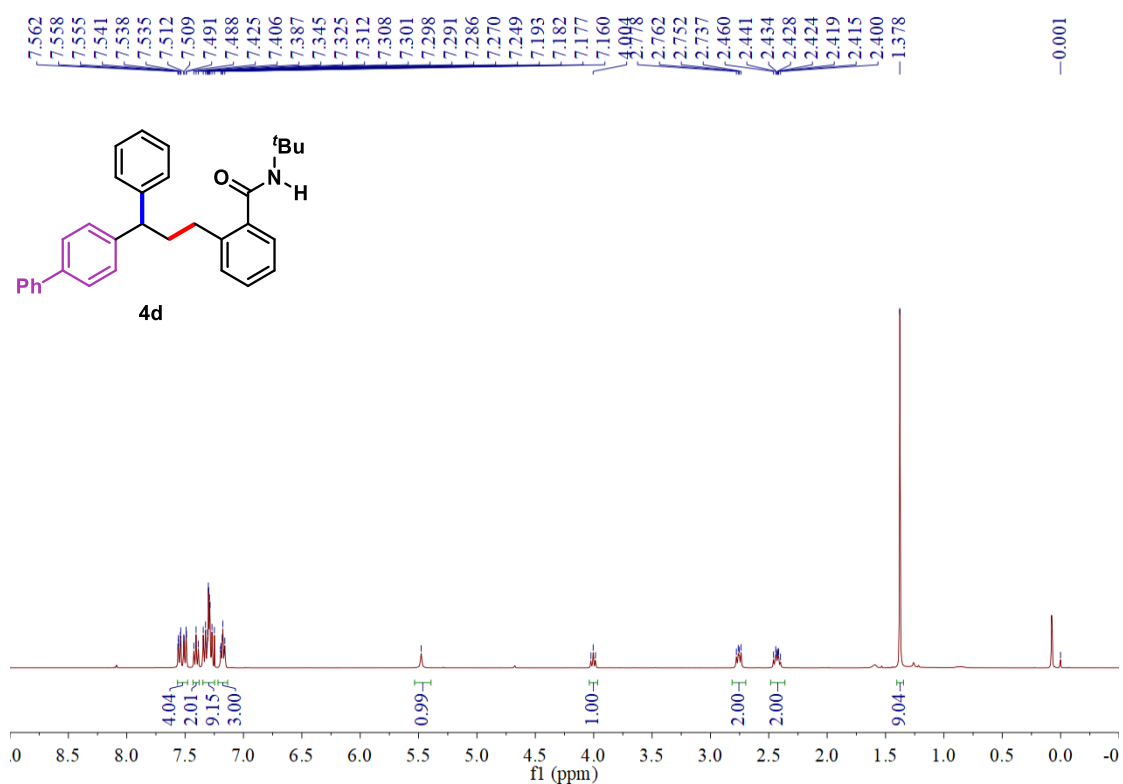
^1H NMR (400 MHz, CDCl_3) of 4c



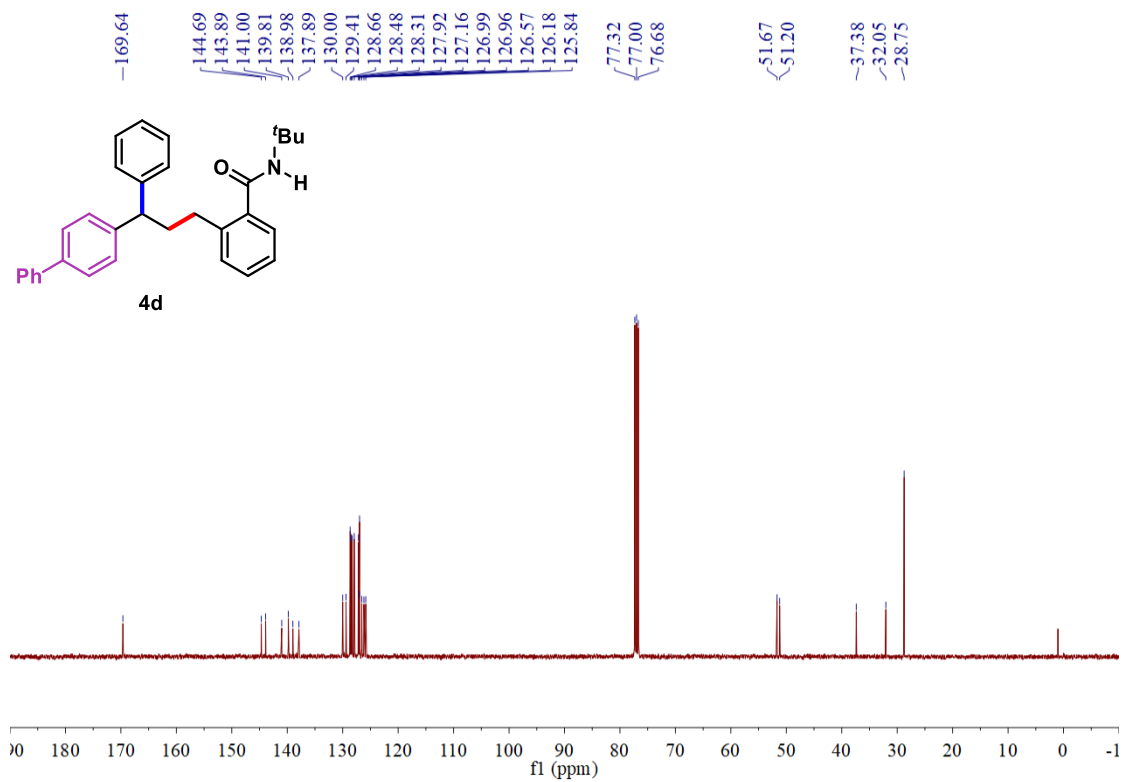
^{13}C NMR (101 MHz, CDCl_3) of 4c



^1H NMR (400 MHz, CDCl_3) of 4d



^{13}C NMR (101 MHz, CDCl_3) of 4d



4e

¹H NMR spectrum (CDCl₃) of compound **4e**. The chemical structure of **4e** is shown above the spectrum. The spectrum displays peaks from 0.00 to 7.29 ppm. Integration values are provided below the baseline for each major peak group.

Chemical Shift (ppm)	Integration
7.295 - 7.236	1.34
7.226 - 7.216	4.98
7.216 - 7.181	4.97
7.181 - 7.178	1.93
7.164 - 7.152	0.96
7.149 - 7.135	1.00
7.135 - 7.127	2.94
6.830 - 6.816	1.00
6.816 - 6.805	2.94
5.470 - 3.924	2.00
3.885 - 3.755	1.98
2.734 - 2.728	1.98
2.716 - 2.709	1.98
2.704 - 2.693	1.98
2.689 - 2.381	9.02
2.381 - 2.361	1.98
2.361 - 2.358	1.98
2.358 - 2.352	1.98
2.352 - 2.341	1.98
2.341 - 2.332	1.98
2.332 - 2.321	1.98
2.321 - 1.377	1.98
1.377 - 0.000	1.98

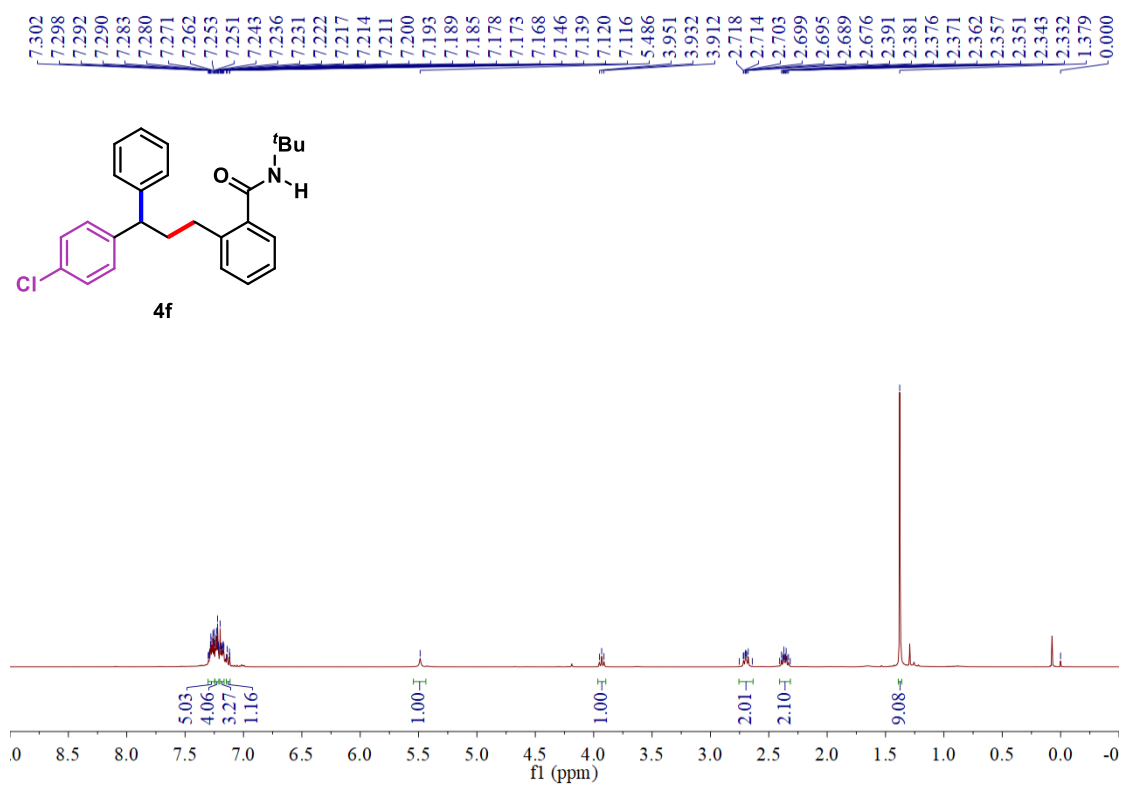
Chemical structure of compound **4e** is shown above the spectrum. The structure features a 4-methoxyphenyl group, a benzyl group, and a benzamide moiety.

The spectrum displays several peaks corresponding to the structure, with the following chemical shifts (ppm) labeled above the peaks:

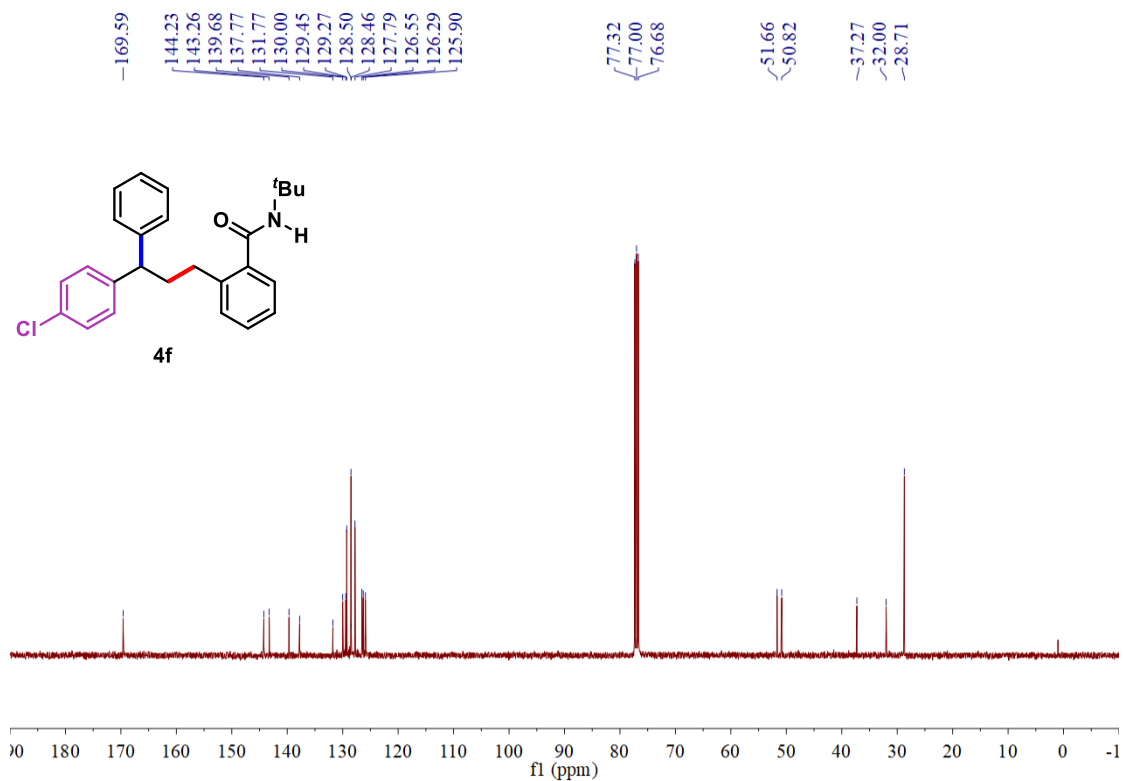
- 169.65, 157.84, 145.17, 139.87, 137.84, 136.89, 129.97, 129.37, 128.80, 128.37, 127.78, 126.54, 125.98, 125.78, 113.79
- 77.32, 77.00, 76.68
- 55.18, 51.64, 50.59
- 37.51, 32.00, 28.73

The x-axis is labeled "f1 (ppm)" and ranges from 0 to 180.

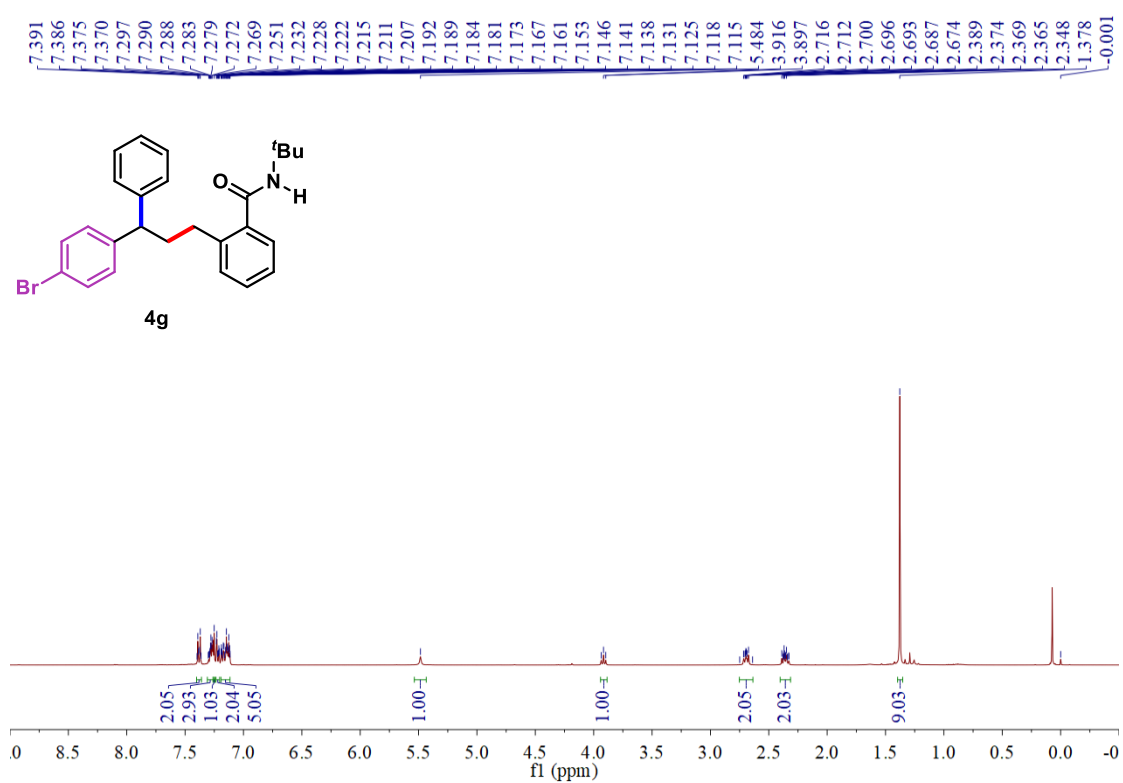
^1H NMR (400 MHz, CDCl_3) of 4f



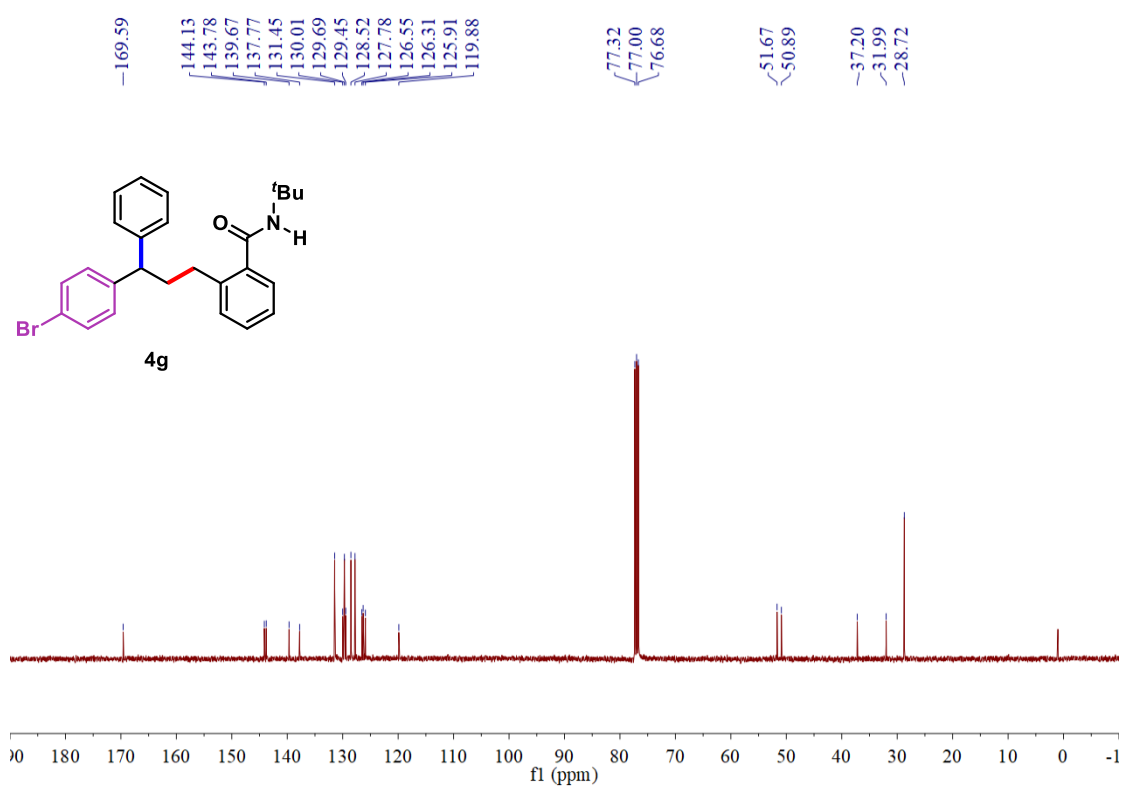
^{13}C NMR (101 MHz, CDCl_3) of 4f



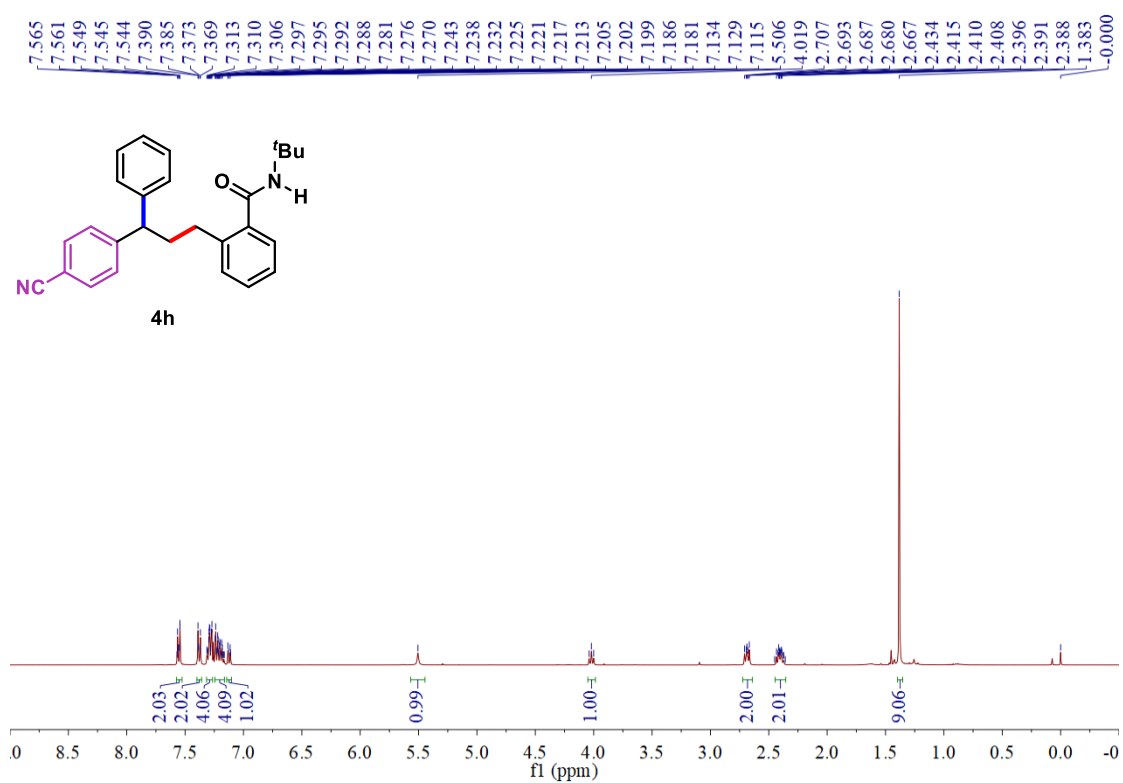
^1H NMR (400 MHz, CDCl_3) of 4g



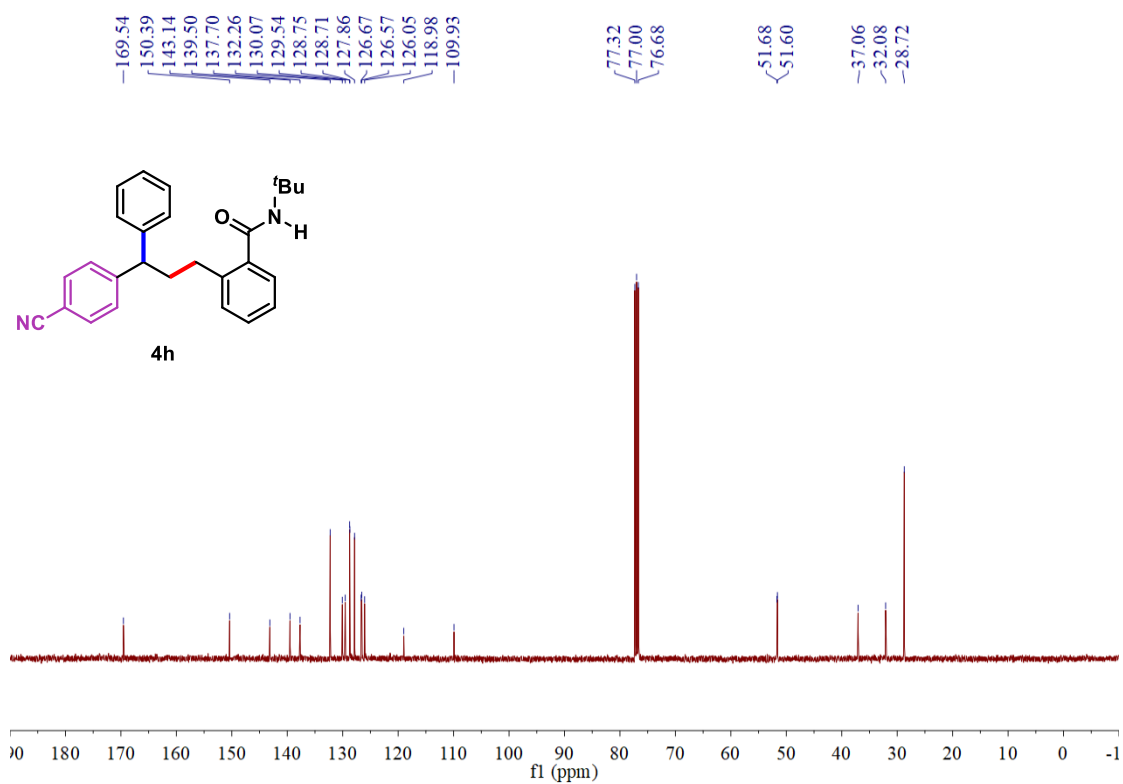
^{13}C NMR (101 MHz, CDCl_3) of 4g



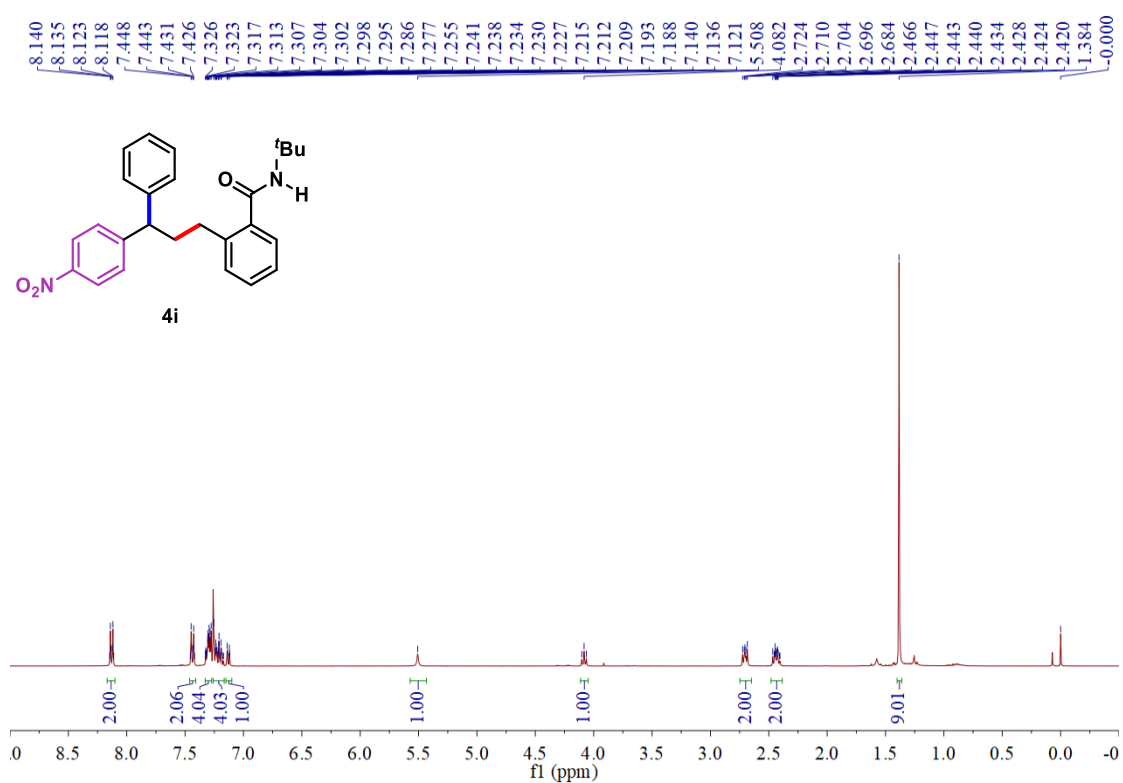
^1H NMR (400 MHz, CDCl_3) of 4h



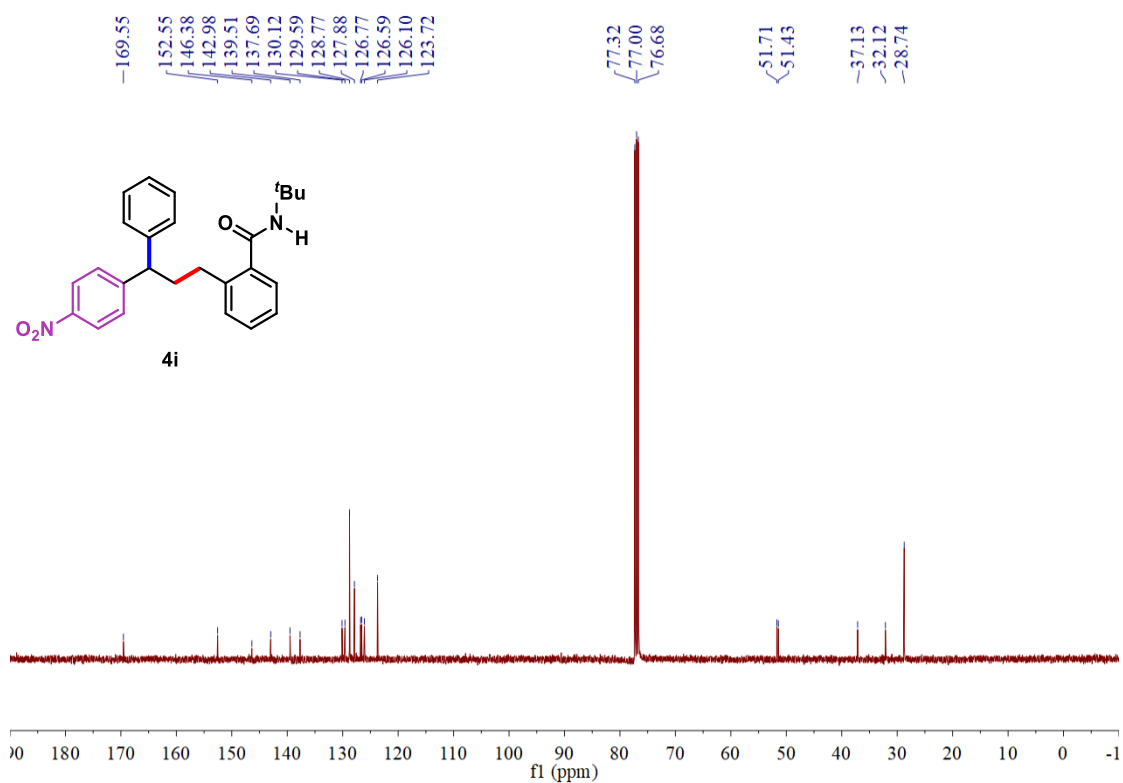
^{13}C NMR (101 MHz, CDCl_3) of 4h



^1H NMR (400 MHz, CDCl_3) of 4i



^{13}C NMR (101 MHz, CDCl_3) of 4i



Chemical structure of 4j: CC1=CC=C(C=C1)C(=O)C(Cc2ccc(C(C)(C)C)cc2)c3ccccc3

¹H NMR spectrum (CDCl₃):

Chemical Shift (ppm)	Integration
7.283, 7.280, 7.268, 7.262, 7.257, 7.256, 7.188, 7.184, 7.174, 7.169, 7.164, 7.159, 7.154, 7.147, 7.141, 7.135, 7.067, 7.062, 7.050, 6.978, 6.960, 5.461, 5.353, 3.914, 3.895, 3.895, 2.738, 2.724, 2.718, 2.710, 2.697, 2.602, 2.402, 2.389, 2.383, 2.376, 2.370, 2.363, 2.361, 2.356, 2.342, 2.297, 1.376	3.03, 3.17, 4.06, 2.02, 1.00, 1.00, 1.00, 2.03, 2.05, 3.02, 9.04

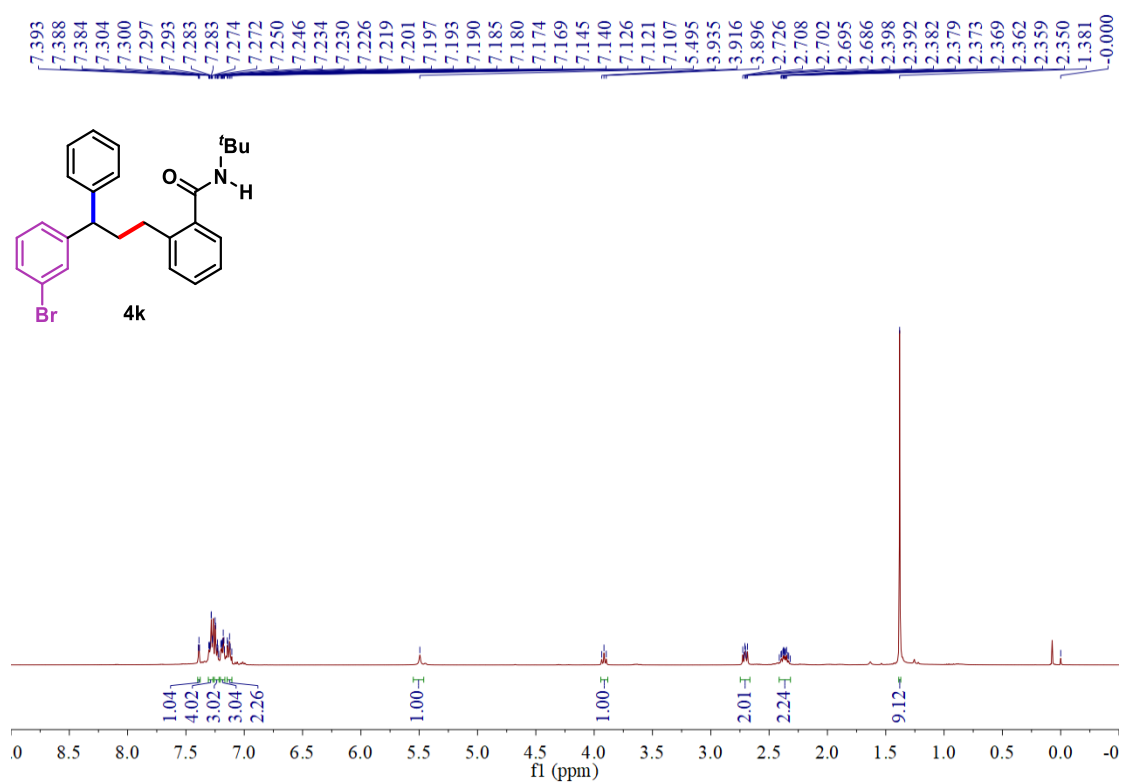
Chemical structure of **4j** is shown above the spectrum. The structure is a benzamide derivative with a 4-methylphenyl group and a 2-((4-methylphenyl)amino)ethyl side chain.

The spectrum displays the following chemical shifts (ppm):

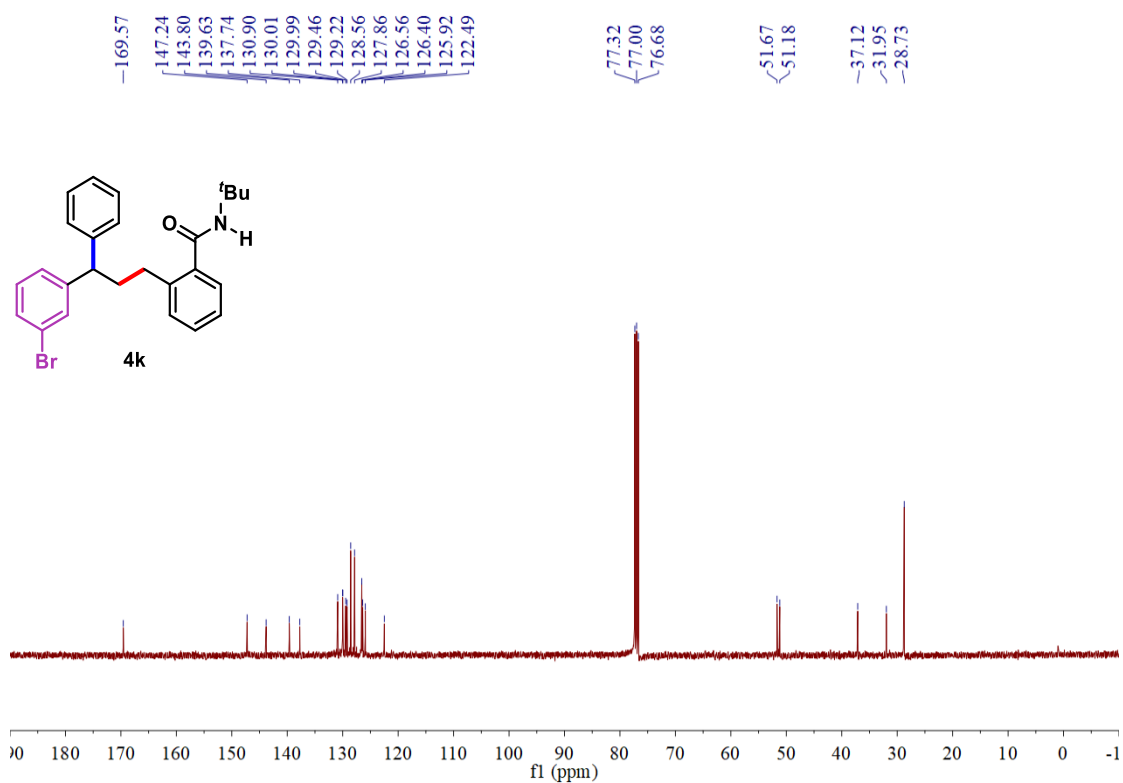
- 169.65
- 144.85
- 144.70
- 139.89
- 137.87
- 137.86
- 129.97
- 129.38
- 128.70
- 128.40
- 128.27
- 127.90
- 126.87
- 126.55
- 126.04
- 125.79
- 124.86
- 77.32
- 77.00
- 76.68
- 51.66
- 51.47
- 37.34
- 32.01
- 28.74
- 21.49

The spectrum shows a complex pattern of peaks in the aromatic region (124.86-169.65 ppm), a triplet for the solvent (76.68, 77.00, 77.32 ppm), and several peaks in the aliphatic region (21.49-51.66 ppm).

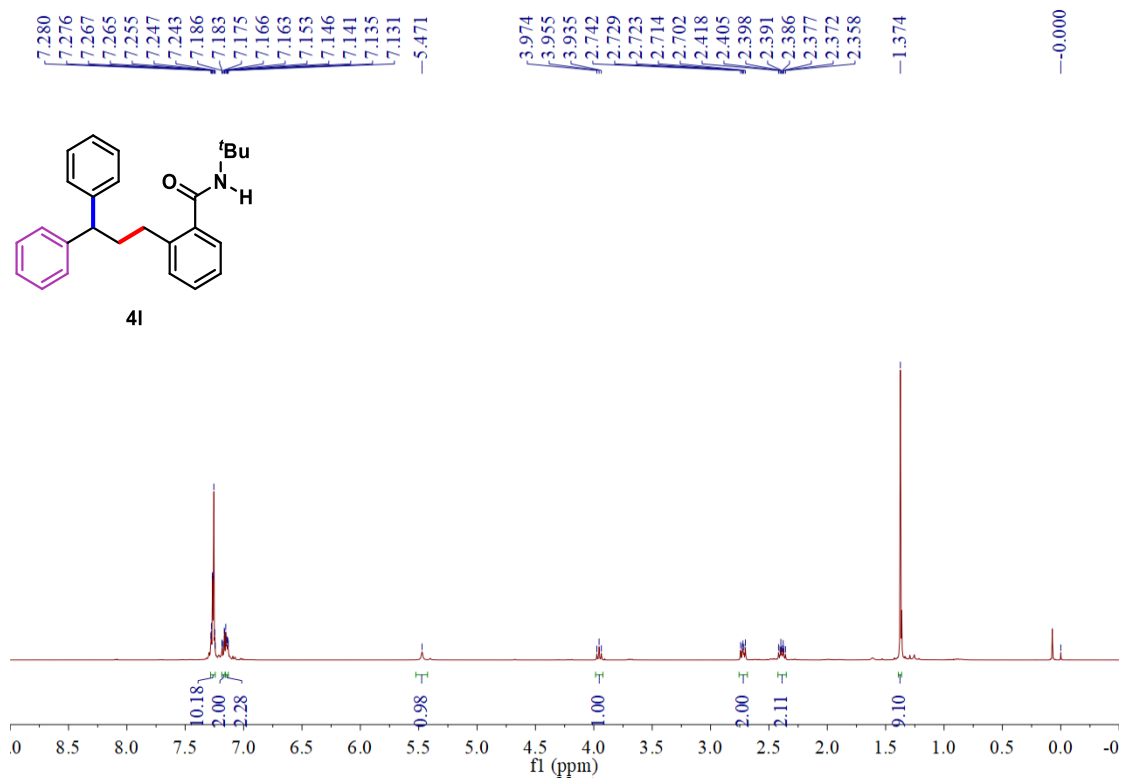
¹H NMR (400 MHz, CDCl₃) of 4k



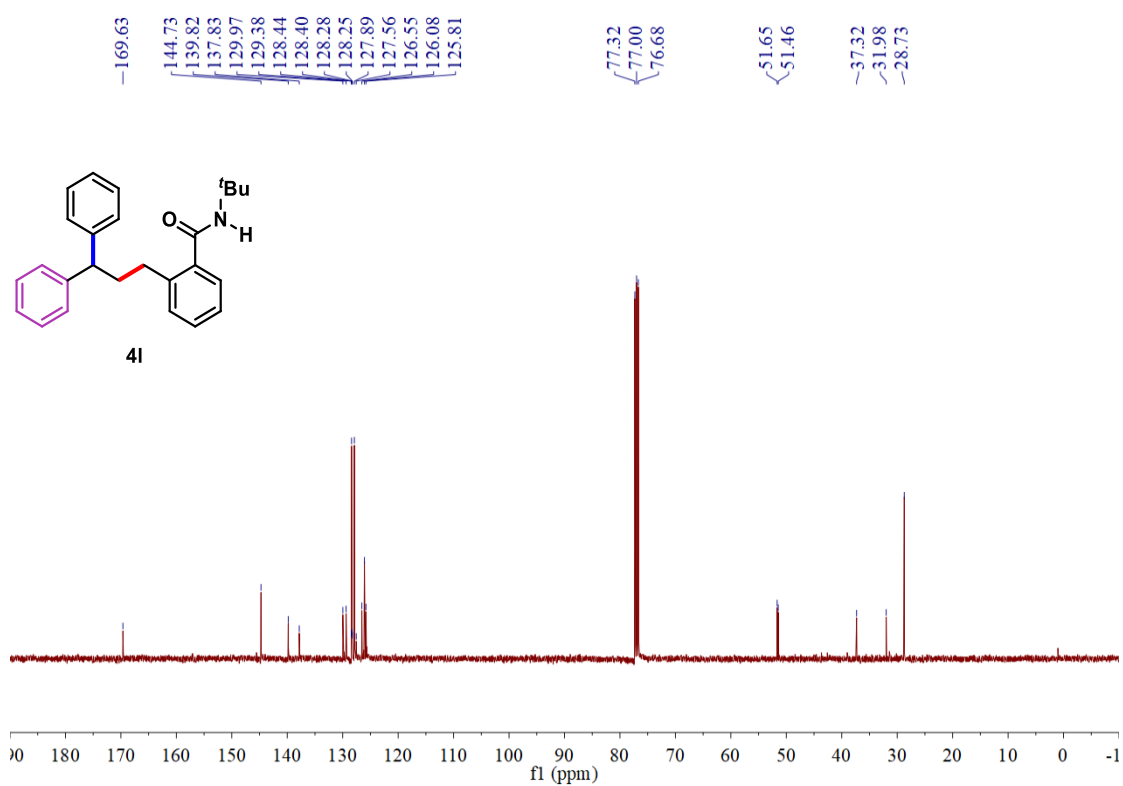
¹³C NMR (101 MHz, CDCl₃) of 4k



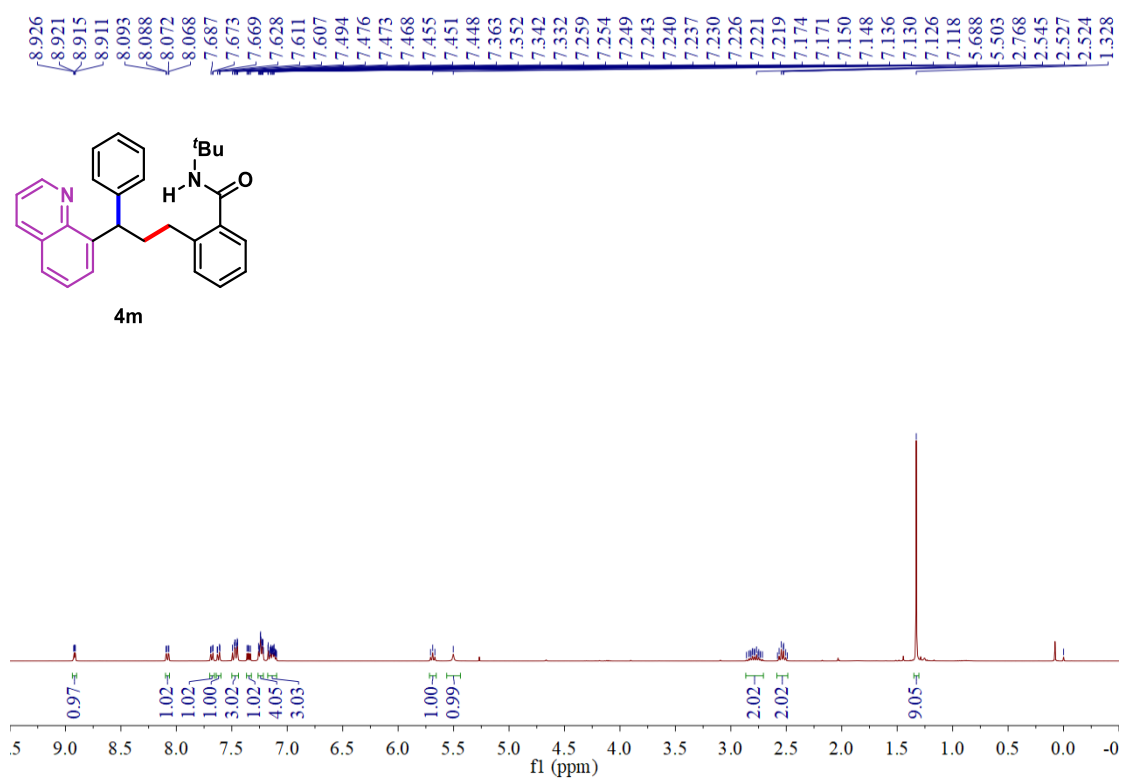
^1H NMR (400 MHz, CDCl_3) of 4l



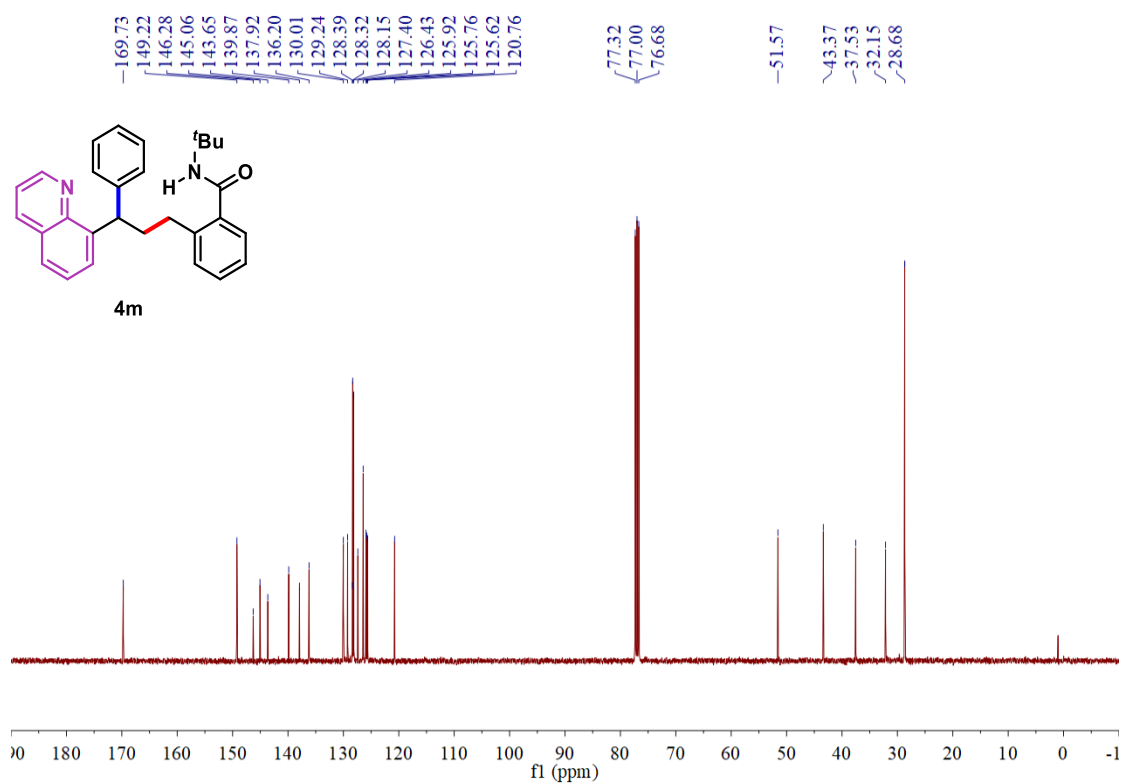
^{13}C NMR (101 MHz, CDCl_3) of 4l



^1H NMR (400 MHz, CDCl_3) of 4m



^{13}C NMR (101 MHz, CDCl_3) of 4m



Chemical structure of 4n: CC1(C)CCC2=C1[C@H]3[C@@H]4C=C[C@H]5[C@@H]([C@@H]([C@H]5C(=O)O)C2)C(=O)N(C)C1=CC=CC=C1

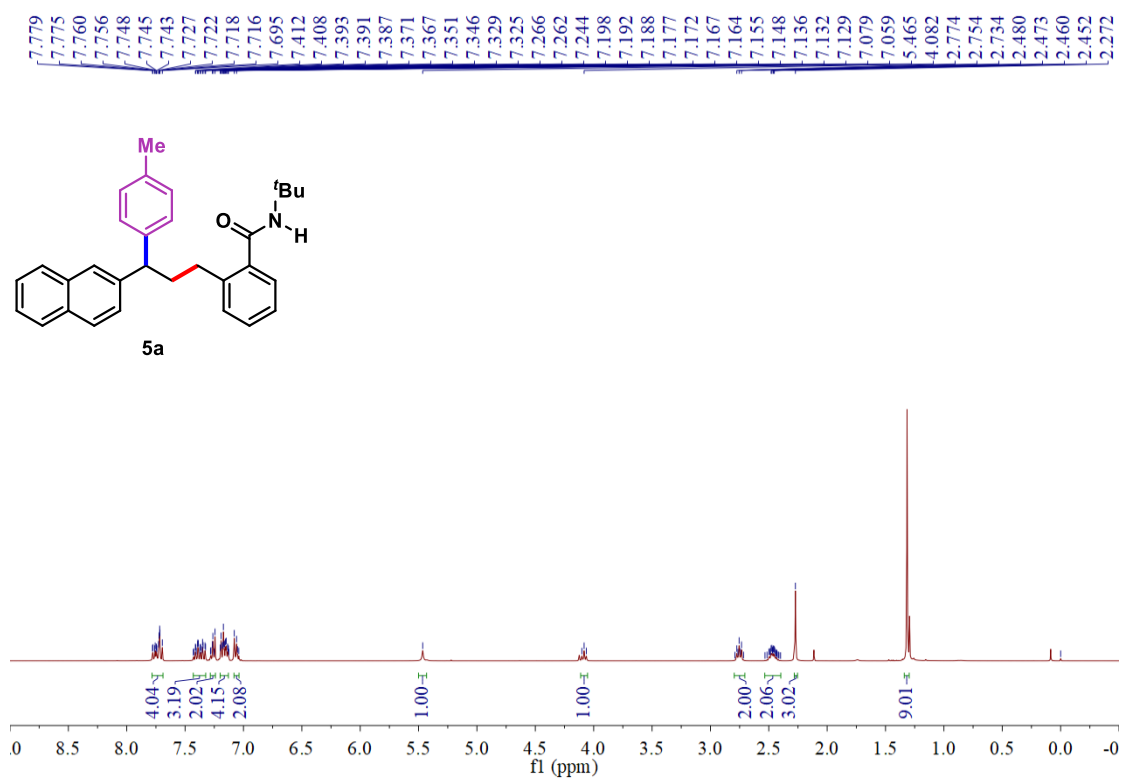
¹H NMR spectrum (CDCl₃):

- Chemical shift range:** 0.00 to 7.293 ppm.
- Integration values:** 3.28, 3.13, 4.34, 0.99, 1.25, 1.00, 2.02, 2.01, 1.03, 3.02, 1.12, 1.33, 2.25, 2.06, 5.27, 9.03, 3.01.
- Diastereomeric ratio (dr):** 2.8:1 (observed in the 5.278-5.477 ppm region).

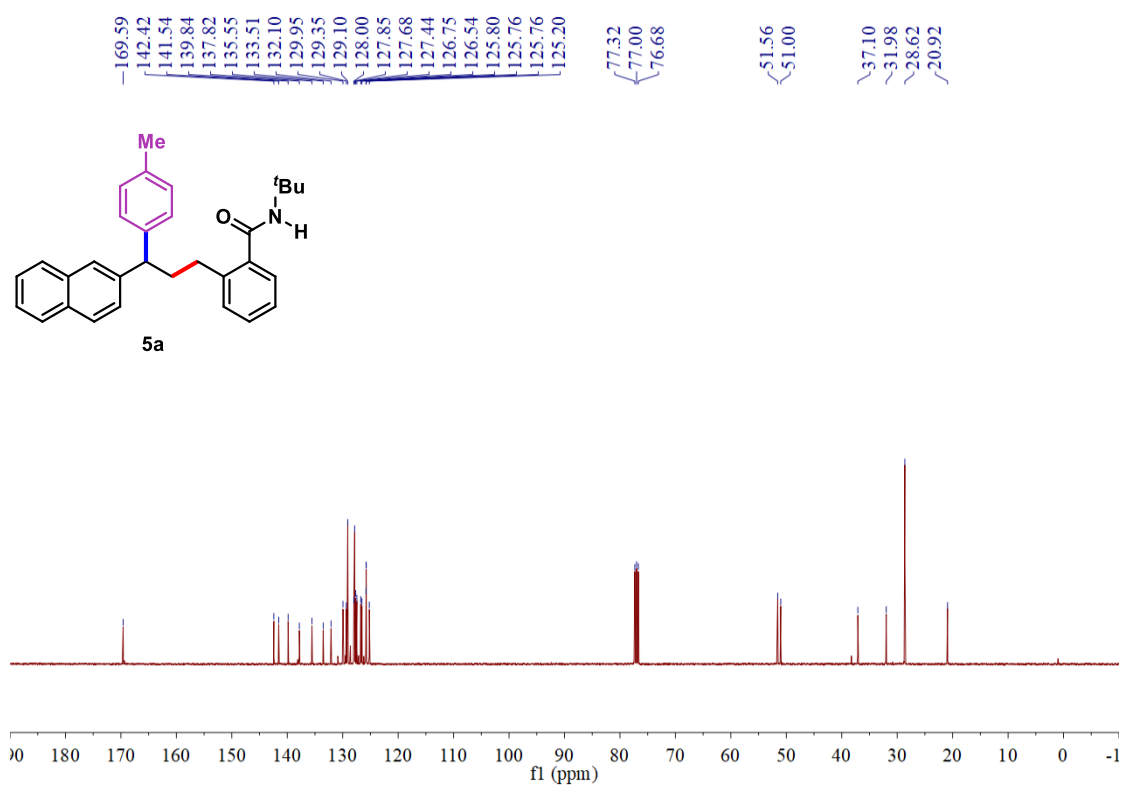
Chemical structure of **4n** is shown above the spectrum. The spectrum displays peaks corresponding to the structure, with the following chemical shifts (ppm) labeled above the peaks:

169.61, 144.87, 144.83, 142.23, 142.18, 139.84, 137.83, 137.39, 136.31, 136.30, 129.89, 129.33, 129.33, 128.39, 127.86, 126.53, 126.01, 125.75, 125.32, 125.30, 125.17, 125.15, 77.32, 77.00, 76.68, 51.61, 51.12, 50.49, 47.96, 44.28, 38.13, 37.38, 37.35, 35.82, 31.99, 31.58, 29.44, 28.73, 26.54, 25.62, 21.54, 13.81.

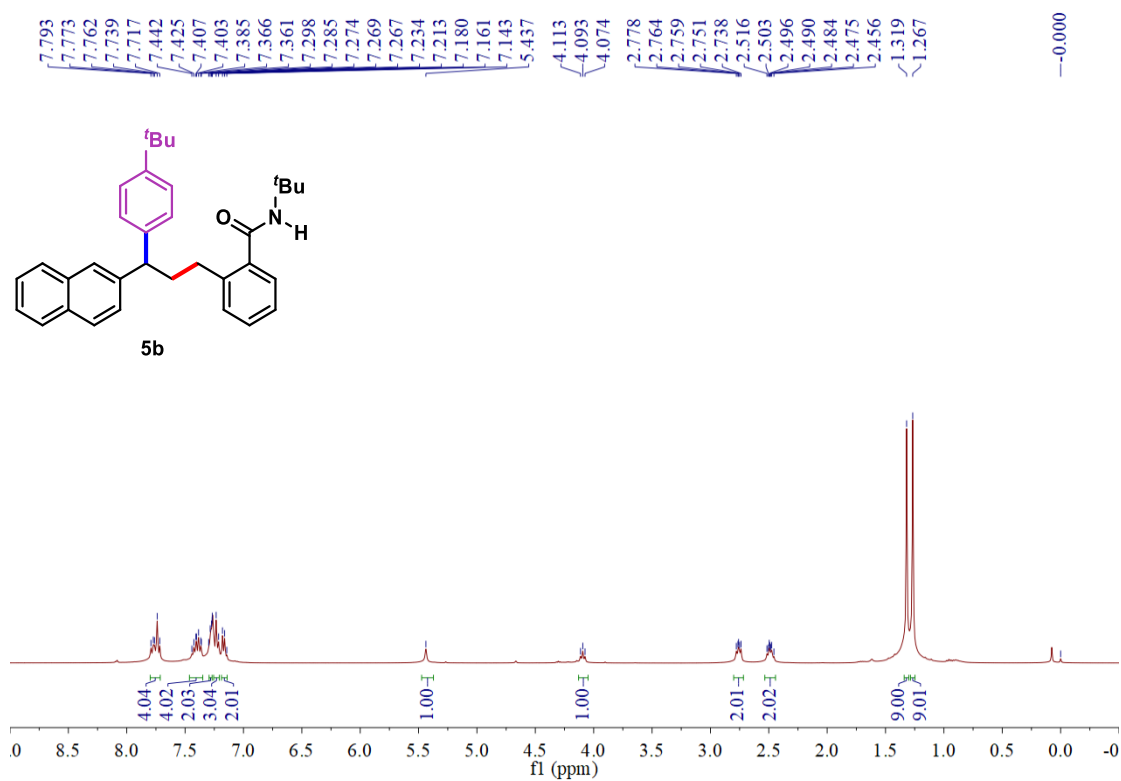
^1H NMR (400 MHz, CDCl_3) of 5a



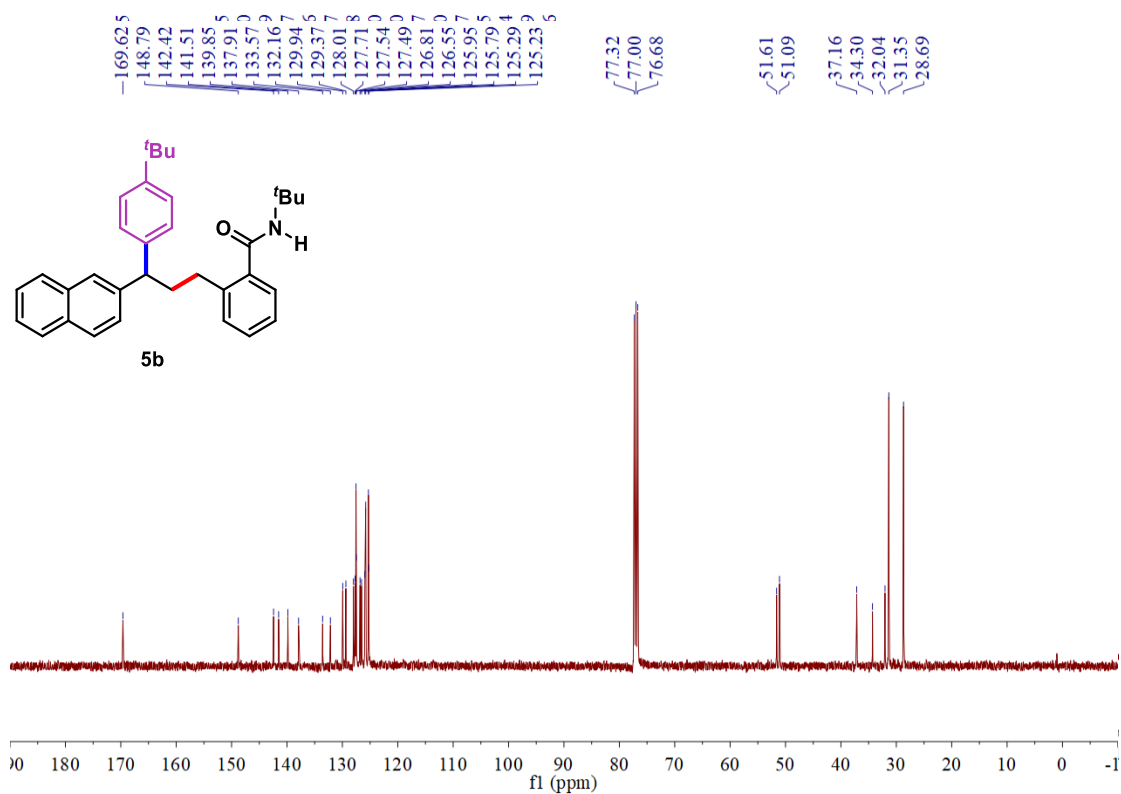
^{13}C NMR (101 MHz, CDCl_3) of 5a



^1H NMR (400 MHz, CDCl_3) of 5b



^{13}C NMR (101 MHz, CDCl_3) of 5b



Chemical structure of 5c: COc1ccc(cc1)[C@H](c2ccc3ccccc3cc2)COC(=O)N(C)C

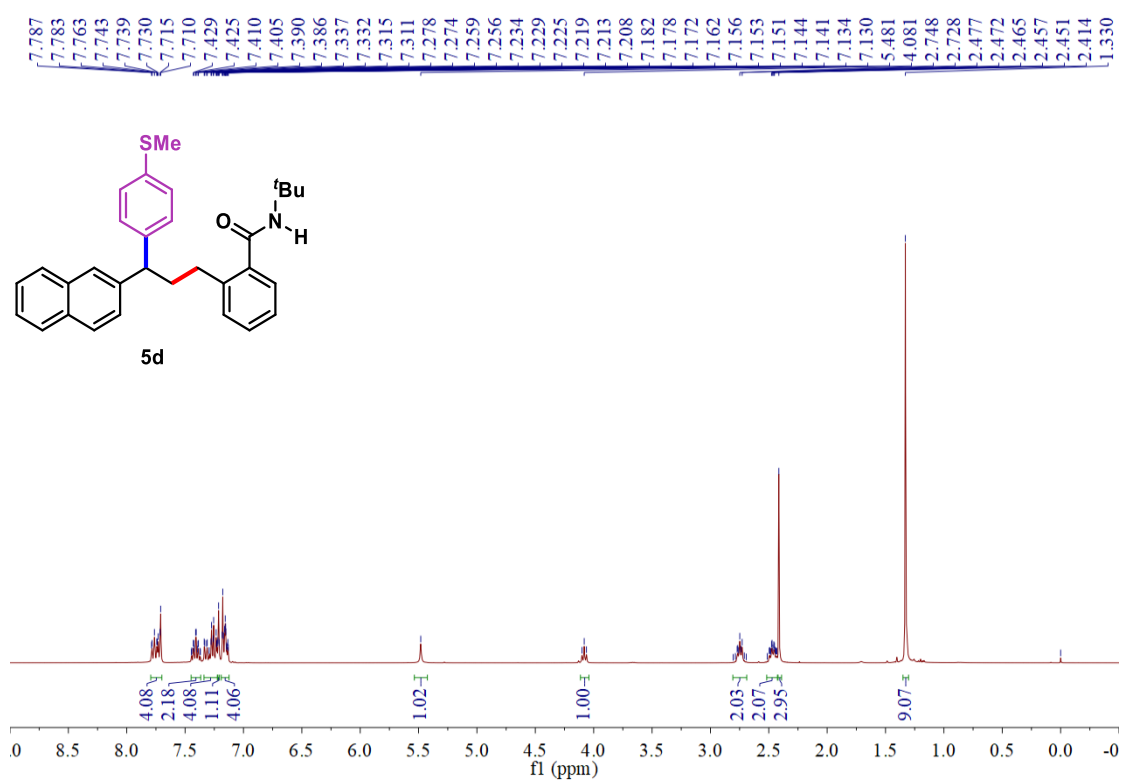
¹H NMR spectrum (CDCl₃):

- Chemical shifts (ppm):** 7.784, 7.769, 7.765, 7.761, 7.744, 7.740, 7.731, 7.711, 7.708, 7.429, 7.425, 7.425, 7.409, 7.404, 7.389, 7.385, 7.346, 7.342, 7.325, 7.321, 7.278, 7.278, 7.263, 7.259, 7.233, 7.223, 7.218, 7.207, 7.202, 7.184, 7.181, 7.166, 7.164, 7.161, 7.144, 6.824, 6.819, 6.807, 6.802, 5.474, 4.074, 3.745, 2.755, 2.751, 2.735, 2.467, 2.464, 2.448, 1.334, -0.000.
- Integration values:** 4.01, 2.07, 1.05, 2.09, 2.21, 2.12, 2.11, 1.00, 1.00, 3.01, 2.04, 2.05, 9.00.

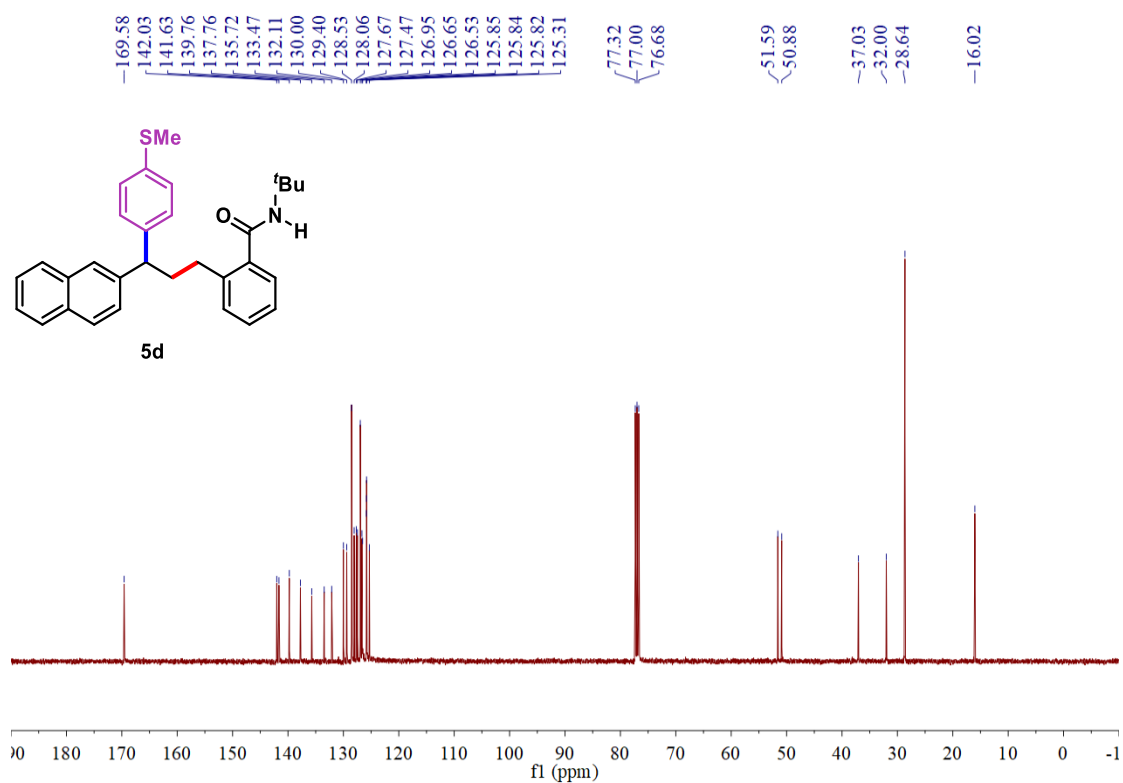
5c

¹³C NMR spectrum (CDCl₃) of compound **5c**. The spectrum shows peaks corresponding to the chemical structure, with the following chemical shifts (ppm) labeled: 169.63, 157.87, 142.58, 139.87, 137.80, 136.71, 133.50, 132.08, 130.00, 129.39, 128.92, 128.01, 127.68, 127.47, 126.74, 126.54, 125.80, 125.72, 125.23, 113.79, 77.32, 77.00, 76.68, 55.16, 51.60, 50.56, 37.26, 32.03, 28.65.

¹H NMR (400 MHz, CDCl₃) of 5d



¹³C NMR (101 MHz, CDCl₃) of 5d



Chemical structure of compound **5e** is shown above the corresponding ¹³C NMR spectrum. The structure features a naphthalene ring system connected via a chiral auxiliary (a red bond) to a benzamide derivative, which is further substituted with a phenyl group (Ph) and a tert-butyl group (tBu).

The ¹³C NMR spectrum (CDCl₃) displays the following chemical shifts (ppm):

- 169.63
- 143.73
- 142.08
- 140.95
- 139.82
- 139.02
- 137.84
- 133.54
- 132.18
- 130.04
- 129.44
- 128.65
- 128.42
- 128.14
- 127.73
- 127.52
- 127.17
- 127.00
- 126.95
- 126.76
- 126.56
- 125.96
- 125.89
- 125.87
- 125.35
- 77.32
- 77.00
- 76.68
- 51.63
- 51.15
- 37.15
- 32.08
- 28.67

CC(C)(C)NC(=O)C[C@H](c1ccc(cc1)c2ccc3ccccc3c2)c4ccccc4

Chemical structure of compound **5f** is shown above the spectrum. The structure features a naphthalene ring system connected to a chiral center, which is further linked to a benzamide moiety. The chiral center is also connected to a benzyl group (OBn).

¹H NMR spectrum (CDCl₃) of compound **5f**. The x-axis represents the chemical shift in ppm (δ), ranging from 0.00 to 7.79. The spectrum shows several multiplets in the aromatic region (6.88–7.79 ppm) and a large singlet at 1.34 ppm. Integration values are provided below the baseline, and the corresponding chemical shifts (δ) are listed above the spectrum.

Chemical shifts (δ) listed above the spectrum: 7.793, 7.789, 7.771, 7.754, 7.749, 7.738, 7.715, 7.709, 7.707, 7.437, 7.419, 7.414, 7.399, 7.396, 7.383, 7.380, 7.363, 7.358, 7.351, 7.347, 7.343, 7.330, 7.325, 7.319, 7.307, 7.285, 7.251, 7.225, 7.220, 7.209, 7.204, 7.175, 7.170, 7.167, 6.903, 6.898, 6.886, 6.881, 5.459, 5.006, 4.077, 2.773, 2.756, 2.746, 2.738, 2.733, 2.468, 2.450, 2.446, 1.339, 0.000.

Integration values listed below the spectrum: 4.04, 5.00, 2.07, 3.01, 1.05, 2.04, 1.01, 2.01, 1.00, 2.00, 1.00, 2.01, 2.07, 9.01.

Chemical structure of **5f** is shown as an inset. The ¹³C NMR spectrum (CDCl₃) shows peaks at the following chemical shifts (ppm): 169.64, 157.20, 142.54, 139.91, 137.85, 137.14, 137.06, 133.54, 132.13, 130.04, 129.42, 128.97, 128.52, 128.05, 127.87, 127.72, 127.50, 127.47, 126.77, 126.56, 125.83, 125.79, 125.26, 114.75, 77.32, 77.00, 76.68, 70.00, 51.64, 50.63, 37.30, 32.06, and 28.70.

Chemical structure of compound **5g** is shown above the spectrum. The structure is 1-(2-(4-chlorophenyl)-2-(naphthalen-1-yl)ethyl)-2-naphthylcarbamate.

¹H NMR spectrum (CDCl₃) of compound **5g** is shown below. The x-axis represents the chemical shift in ppm (δ), ranging from 0 to 9. The spectrum displays several peaks, with integrations provided below the baseline and a list of chemical shifts (δ) on the right side.

Chemical shifts (δ) listed on the right: 7.794, 7.790, 7.772, 7.754, 7.750, 7.743, 7.722, 7.711, 7.708, 7.705, 7.443, 7.439, 7.425, 7.420, 7.408, 7.406, 7.402, 7.319, 7.315, 7.302, 7.298, 7.293, 7.283, 7.280, 7.265, 7.262, 7.232, 7.192, 7.189, 7.173, 7.168, 7.154, 7.148, 7.130, 7.125, 5.479, 4.118, 4.099, 4.080, 2.761, 2.755, 2.738, 2.718, 2.480, 2.472, 2.467, 2.460, 2.451, 2.448, 1.338.

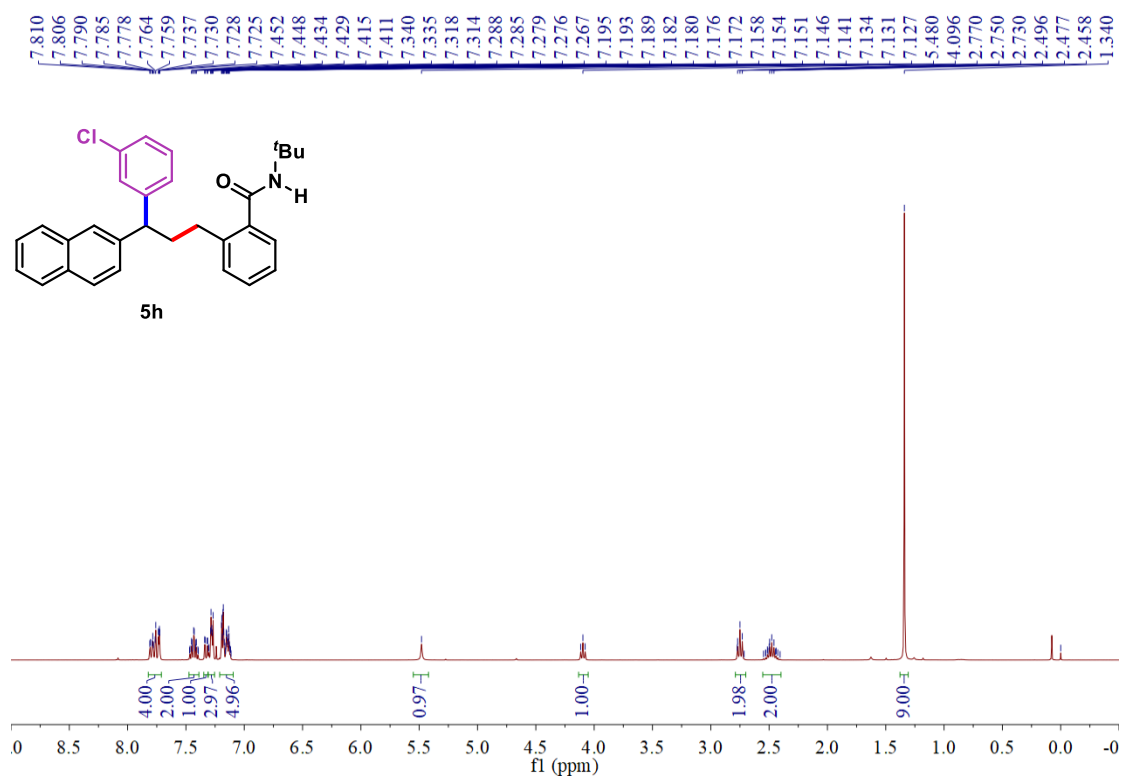
Integration values shown below the baseline: 4.01, 2.02, 3.02, 4.00, 2.00, 0.97, 1.00, 2.00, 2.03, 9.00.

CC(C)(C)NC(=O)Cc1ccccc1CO[C@H](c2ccc(Cl)cc2)c3ccc4ccccc43

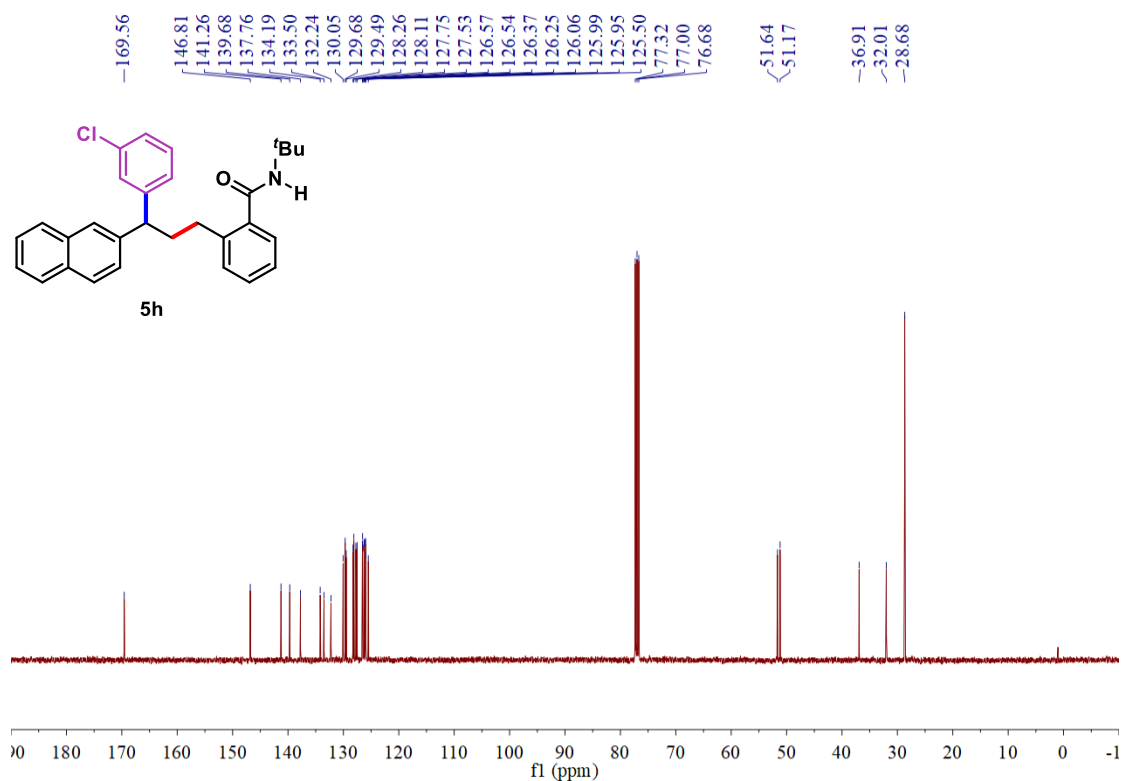
¹³C NMR spectrum (CDCl₃) of compound 5g. The spectrum shows peaks in the aromatic region (125.46–169.58 ppm), a solvent triplet (77.00 ppm), and aliphatic peaks (28.66–51.63 ppm).

¹³C NMR peaks (ppm): 169.58, 143.12, 141.63, 139.71, 137.77, 133.49, 132.18, 131.86, 130.04, 129.47, 129.40, 128.52, 128.20, 127.70, 127.51, 126.55, 125.97, 125.93, 125.91, 125.46, 77.32, 77.00, 76.68, 51.63, 50.82, 37.07, 32.04, 28.66.

^1H NMR (400 MHz, CDCl_3) of 5h

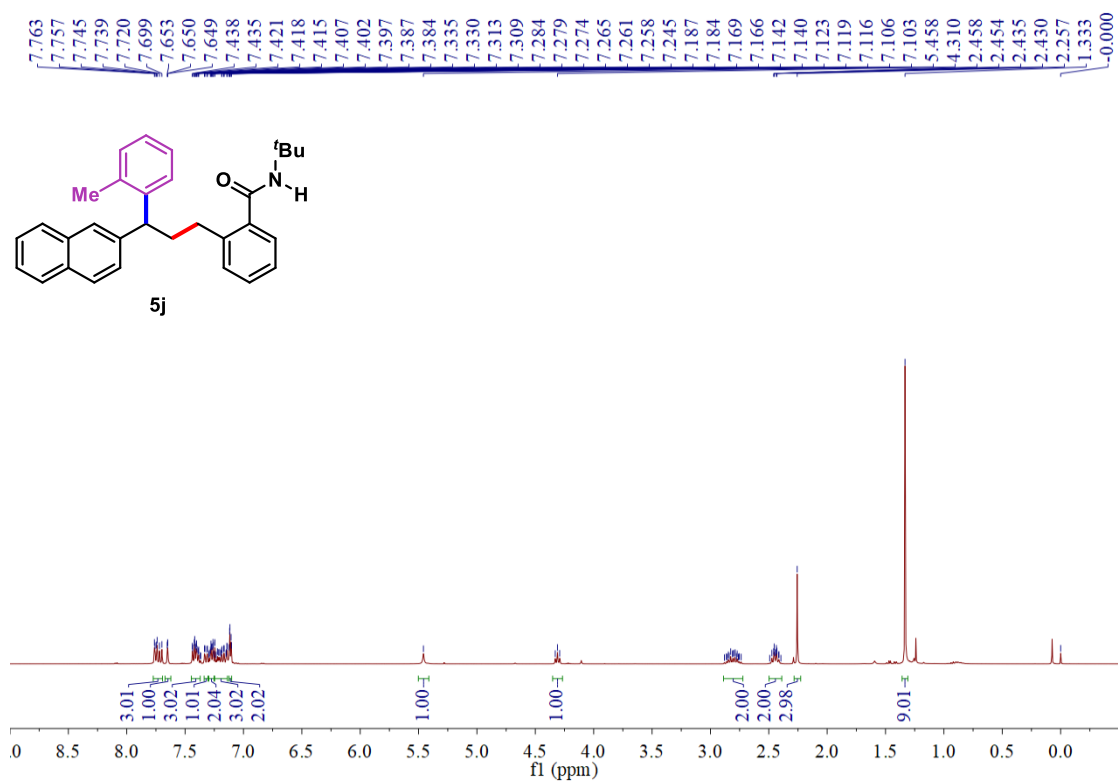


^{13}C NMR (101 MHz, CDCl_3) of 5h

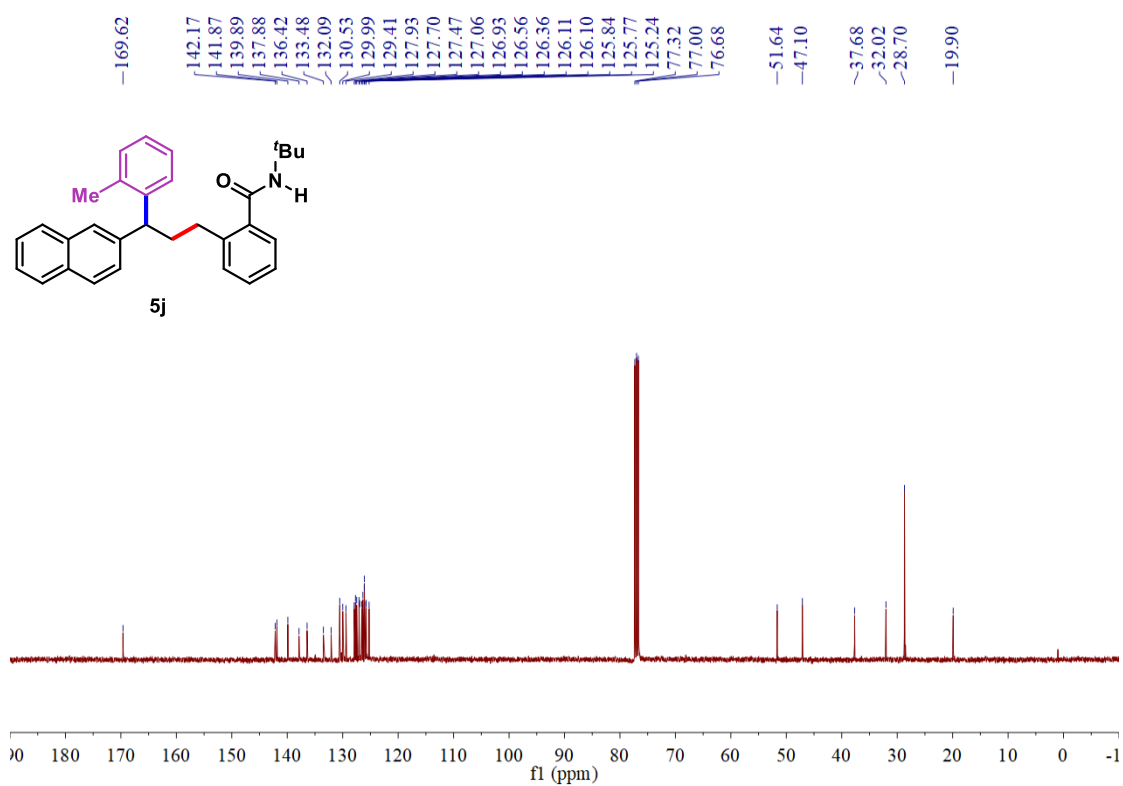


[illegible][illegible]

¹H NMR (400 MHz, CDCl₃) of 5j



¹³C NMR (101 MHz, CDCl₃) of 5j



5k

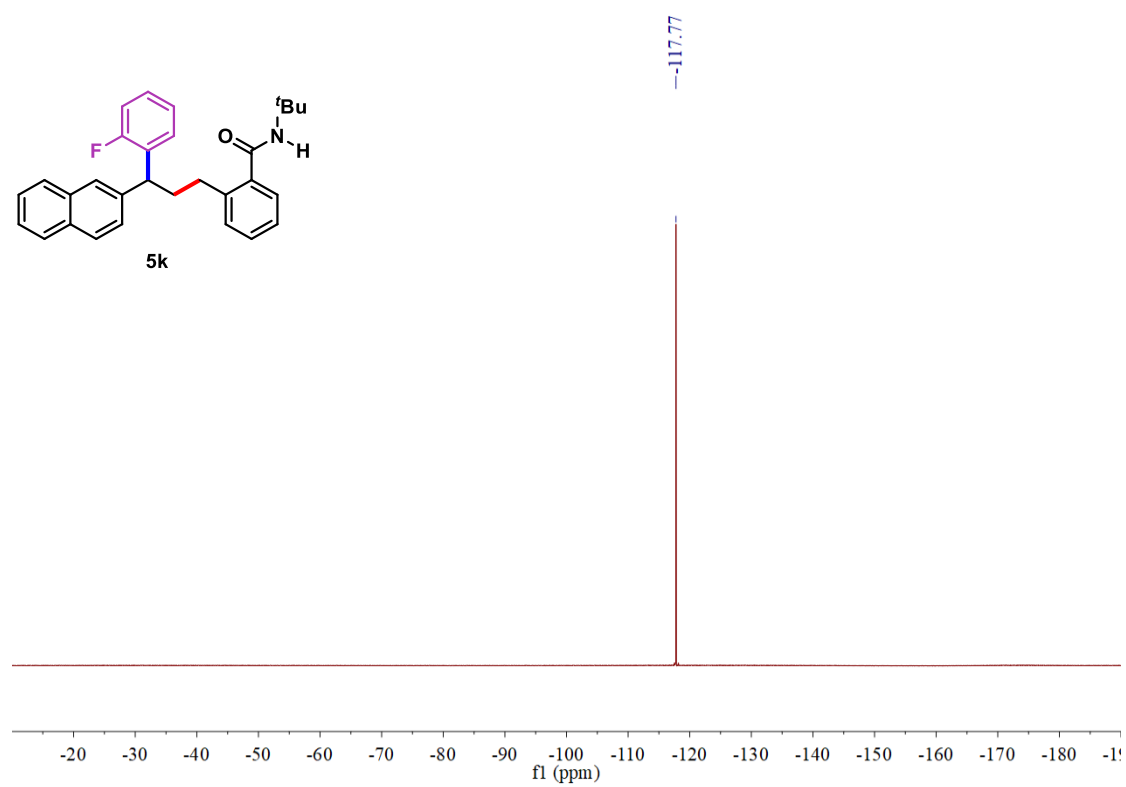
CN(C(=O)C1=CC=CC=C1)C(C2=CC=CC=C2)Cc3ccccc3F

1H NMR spectrum (CDCl₃) of compound **5k**. The x-axis represents the chemical shift in ppm (f1), ranging from 0.0 to 7.8. The spectrum shows several multiplets in the aromatic region (6.9-7.8 ppm) and a large singlet at approximately 1.3 ppm. Integration values are provided below the baseline, and peak chemical shifts are listed above the spectrum.

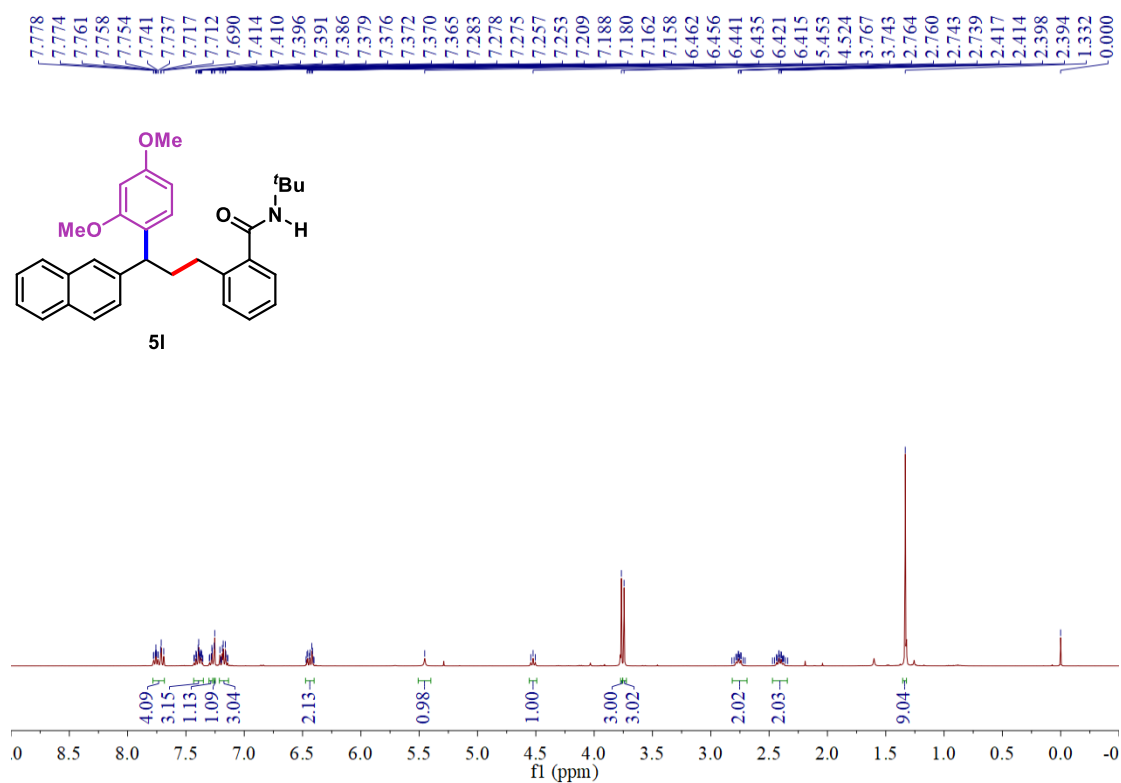
Chemical structure of compound **5k** is shown above the ¹³C NMR spectrum. The structure is a naphthalene derivative with a 2-fluorophenyl group and a 2-((2-oxo-2-(tert-butylamino)ethyl)oxy)methyl group. The ¹³C NMR spectrum (CDCl₃) displays peaks from 28.70 to 169.63 ppm. The peak list is as follows:

Chemical Shift (ppm)
169.63
161.93
159.49
140.94
139.67
137.88
133.53
132.24
131.66
131.52
130.12
129.45
128.87
128.82
128.05
127.79
127.75
127.67
127.50
126.77
126.57
126.20
125.91
125.87
125.40
124.22
124.19
115.48
115.25
77.32
77.00
76.68
51.66
43.66
43.64
36.30
31.98
28.70

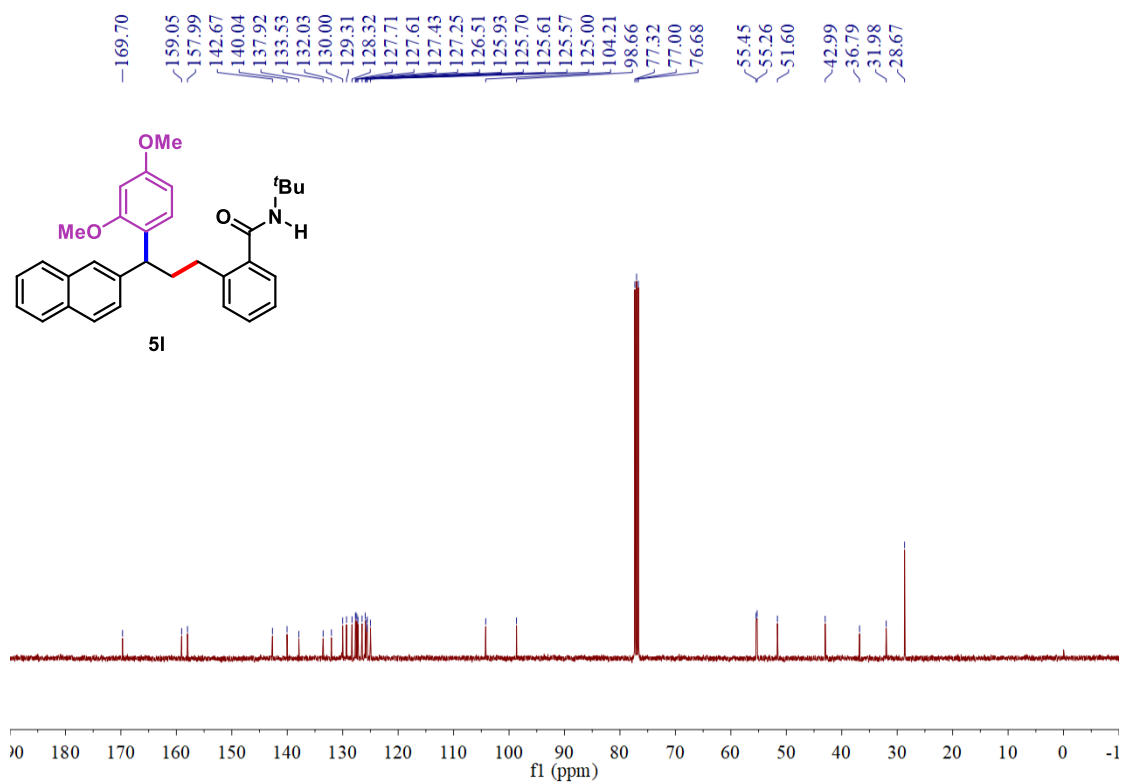
^{19}F NMR (376 MHz, CDCl_3) of 5k



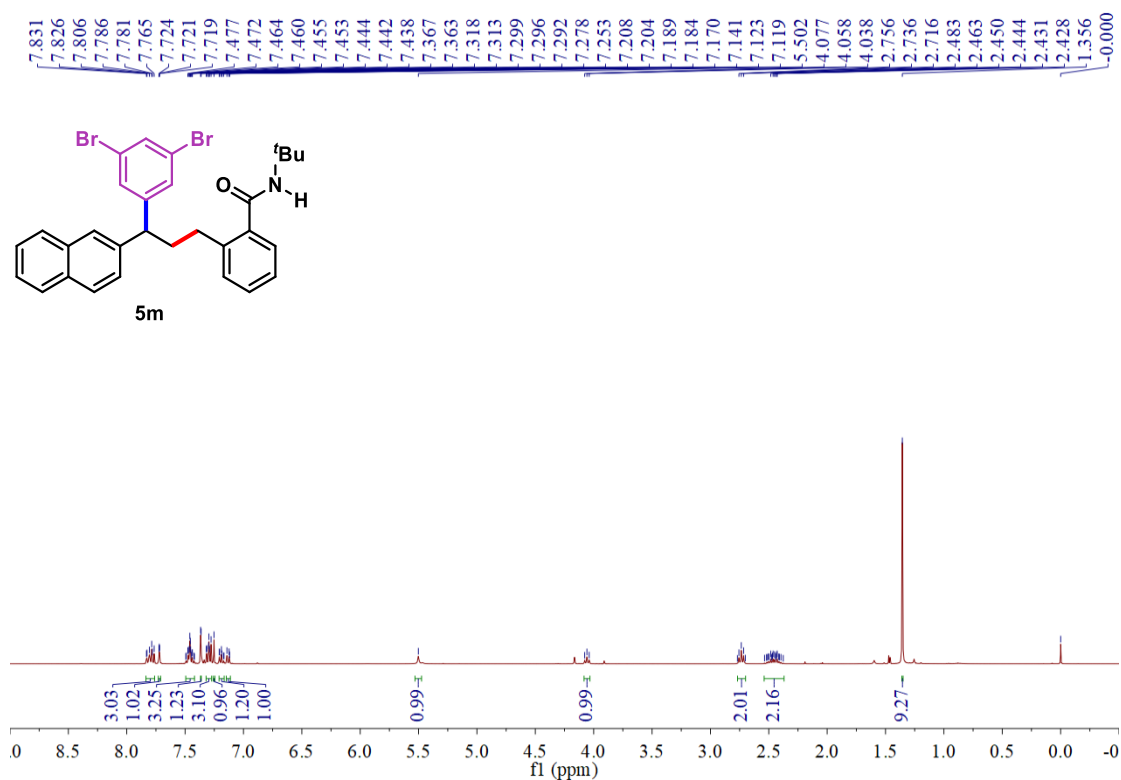
¹H NMR (400 MHz, CDCl₃) of 5l



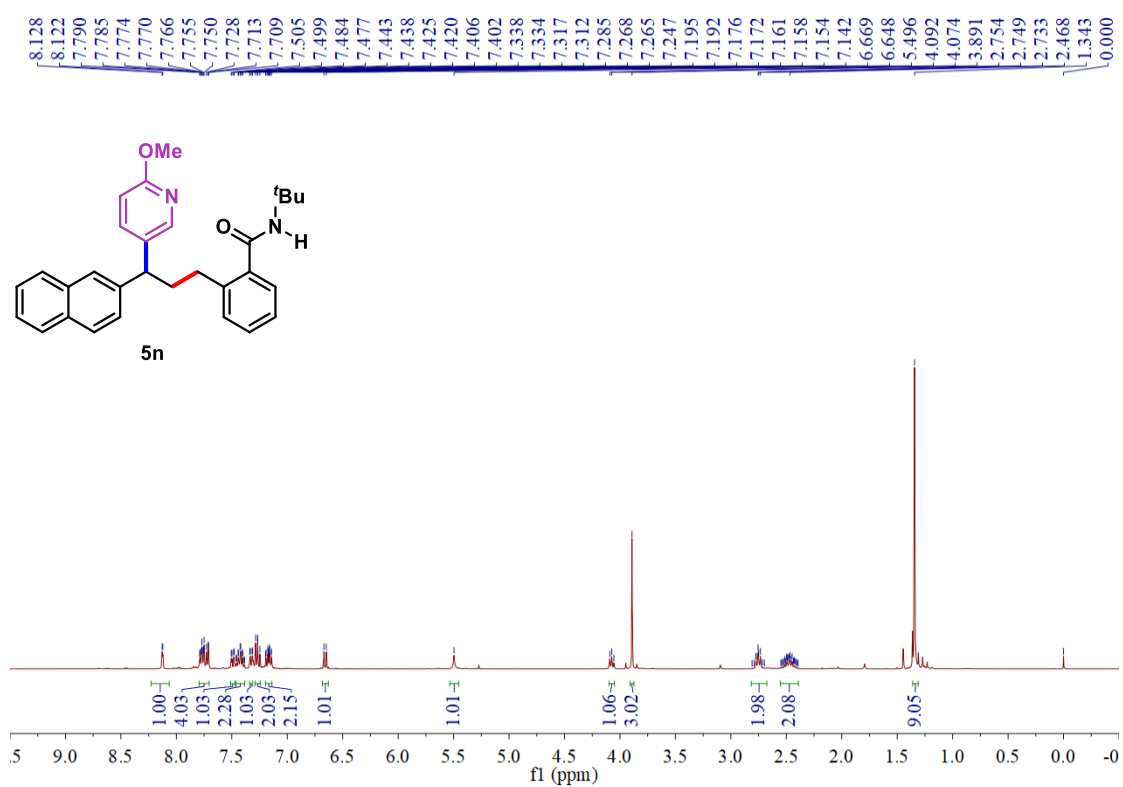
¹³C NMR (101 MHz, CDCl₃) of 5l



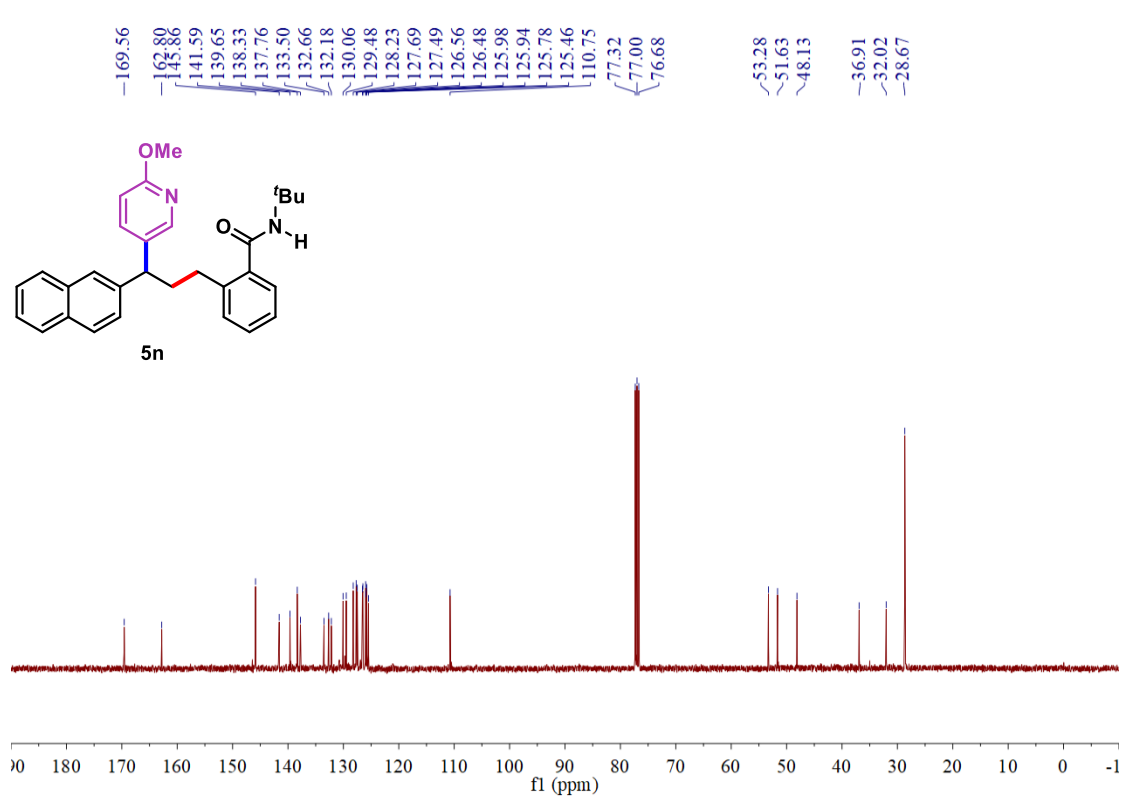
^1H NMR (400 MHz, CDCl_3) of 5m



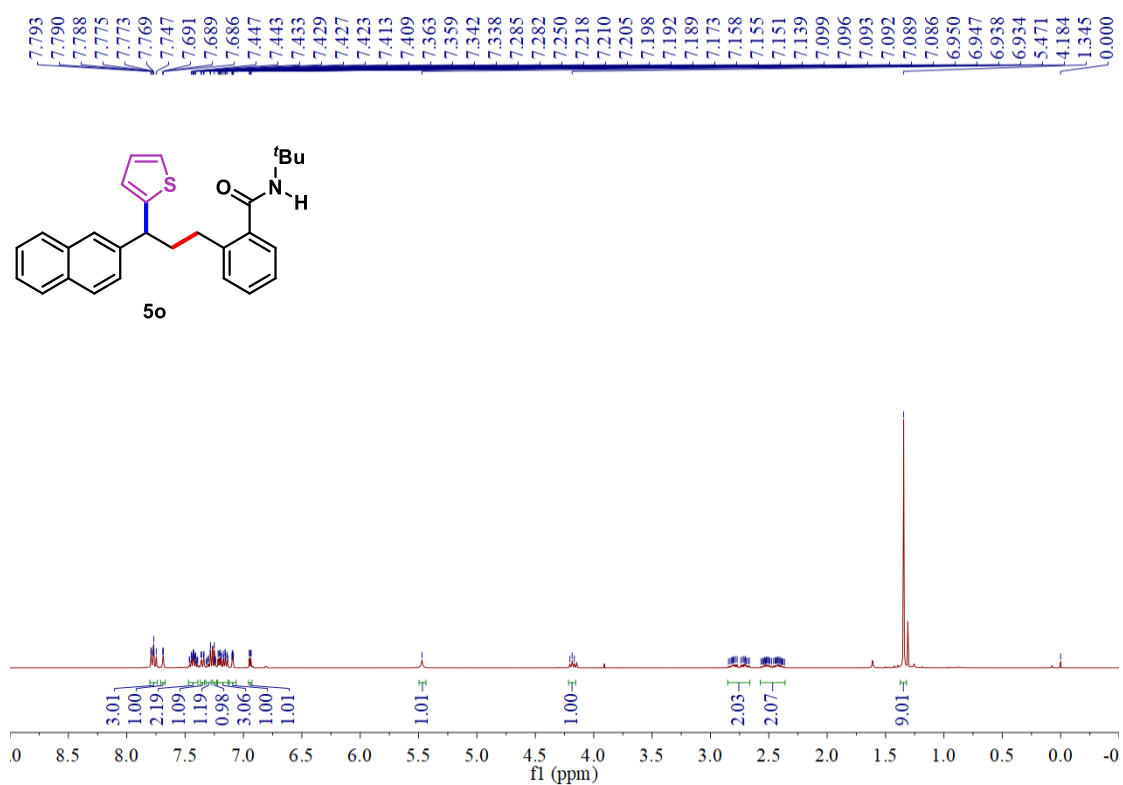
¹H NMR (400 MHz, CDCl₃) of 5n



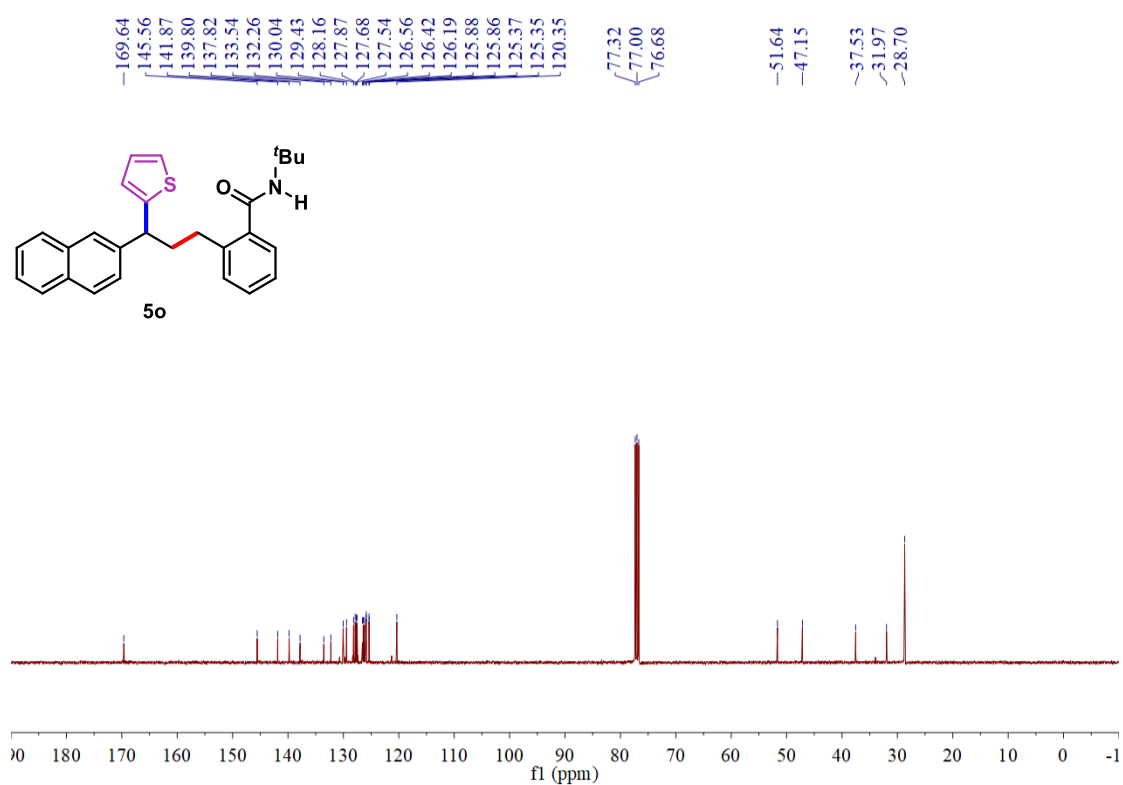
¹³C NMR (101 MHz, CDCl₃) of 5n



^1H NMR (400 MHz, CDCl_3) of 5o



^{13}C NMR (101 MHz, CDCl_3) of 5o



Chemical structure of **5p** is shown above the spectrum. The structure consists of a naphthalene ring connected at the 1-position to a chiral center. This chiral center is also bonded to a benzofuran-2-yl group and a (2-((tert-butylamino)carbonyl)ethyl) group.

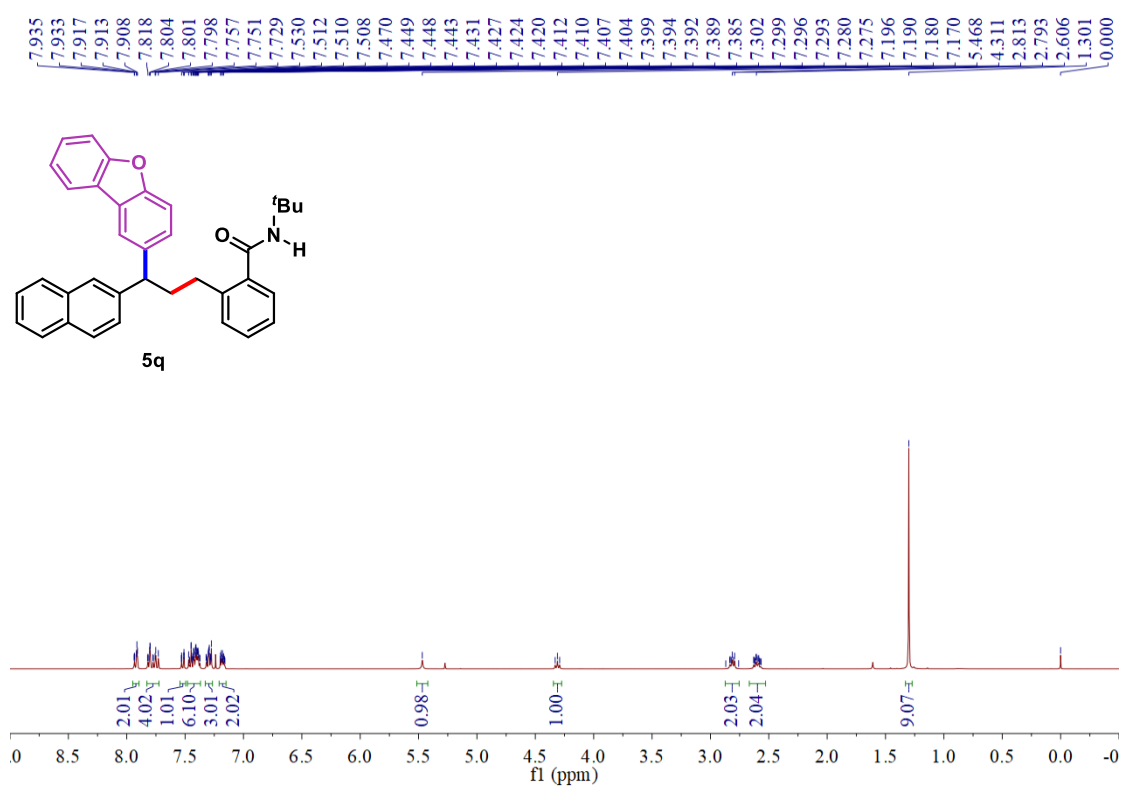
¹H NMR spectrum (CDCl₃) of **5p**. The x-axis represents the chemical shift in ppm, ranging from 0.00 to 7.792. The spectrum shows several multiplets in the aromatic region (6.5-7.8 ppm) and a broad singlet for the NH group (around 7.2 ppm). Integration values are provided below the baseline: 4.00, 2.04, 1.00, 2.01, 2.01, 2.00, 0.98, 2.00, 0.99, 1.00, 2.00, 2.01, and 9.01.

CC(C)(C)NC(=O)Cc1ccccc1CO[C@H](c2ccc3c(c2)OCO3)c4ccc5ccccc45

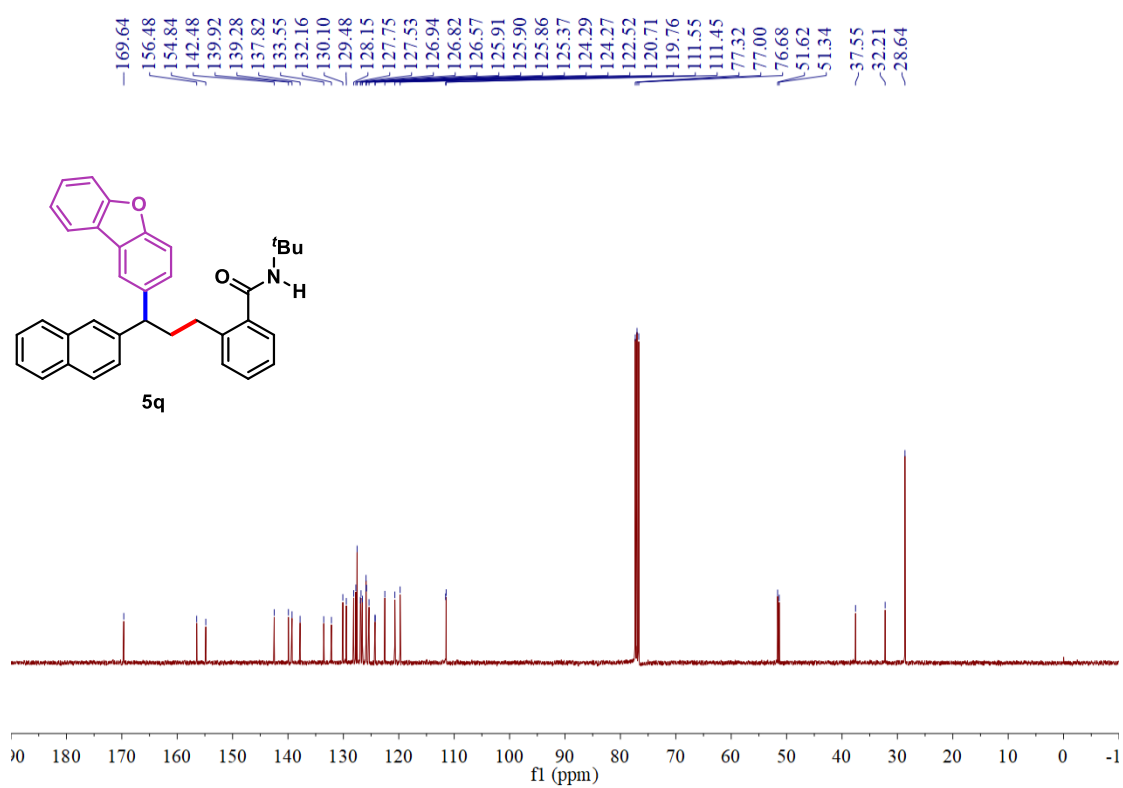
5p

169.60
 147.70
 145.84
 142.29
 139.85
 138.66
 137.85
 133.54
 132.17
 130.02
 129.41
 128.07
 127.72
 127.49
 126.66
 126.56
 125.86
 125.84
 125.69
 125.32
 120.97
 108.45
 108.08
 100.77
 77.32
 77.00
 76.68
 51.62
 51.12
 37.21
 32.02
 28.69

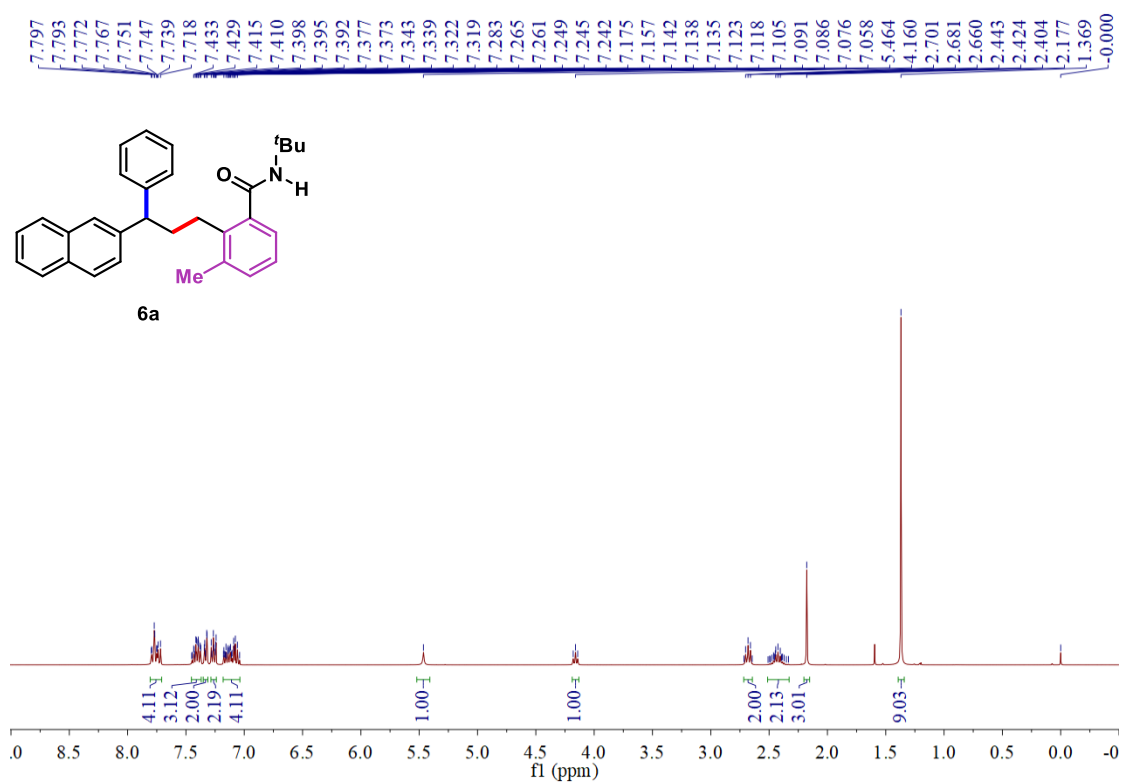
¹H NMR (400 MHz, CDCl₃) of 5q



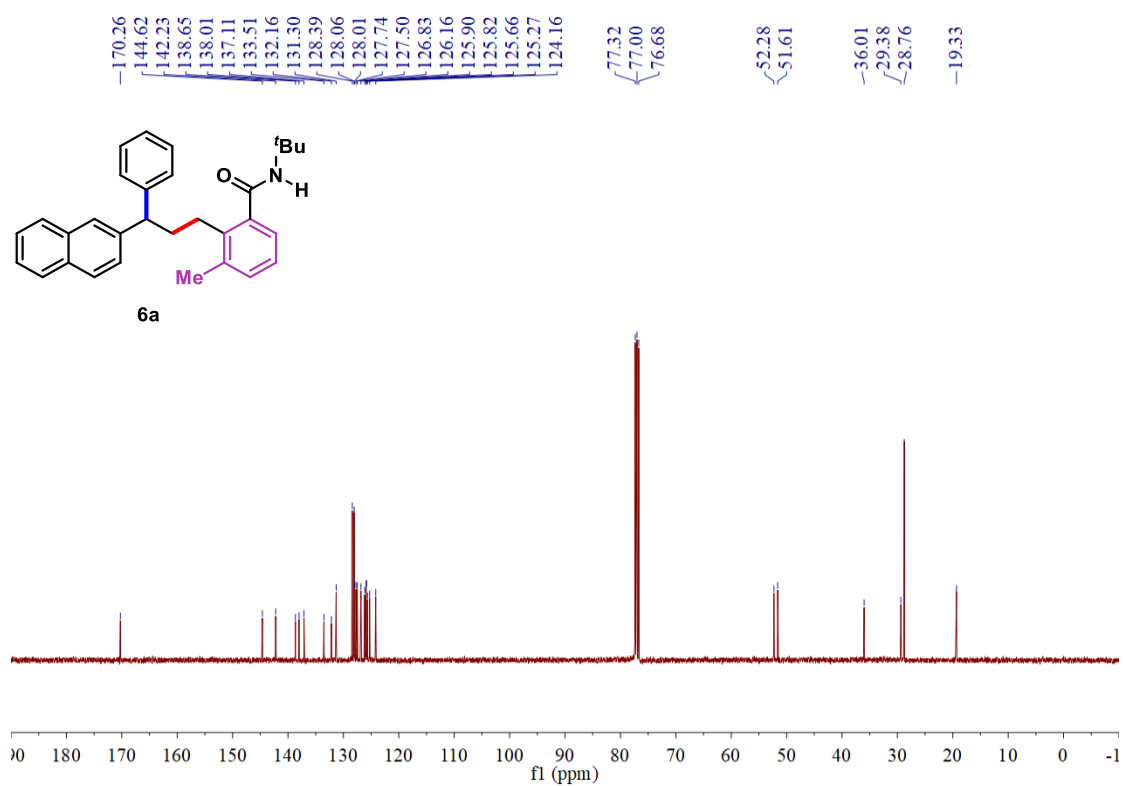
¹³C NMR (101 MHz, CDCl₃) of 5q



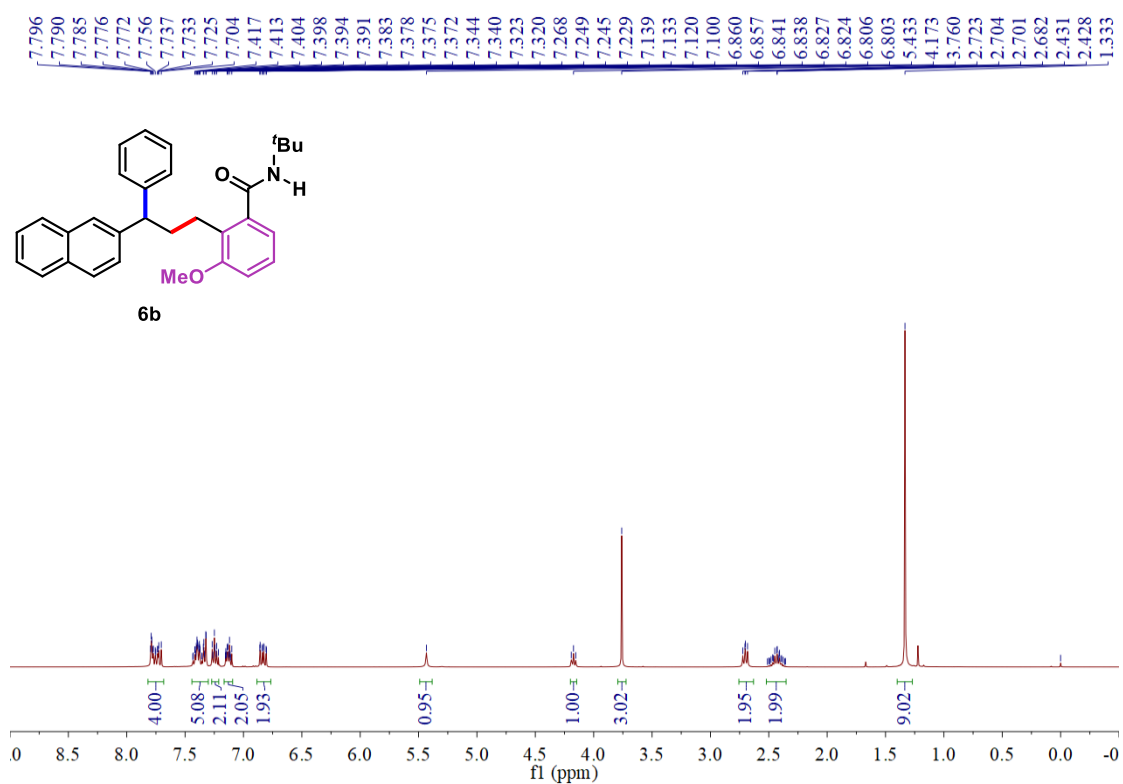
^1H NMR (400 MHz, CDCl_3) of 6a



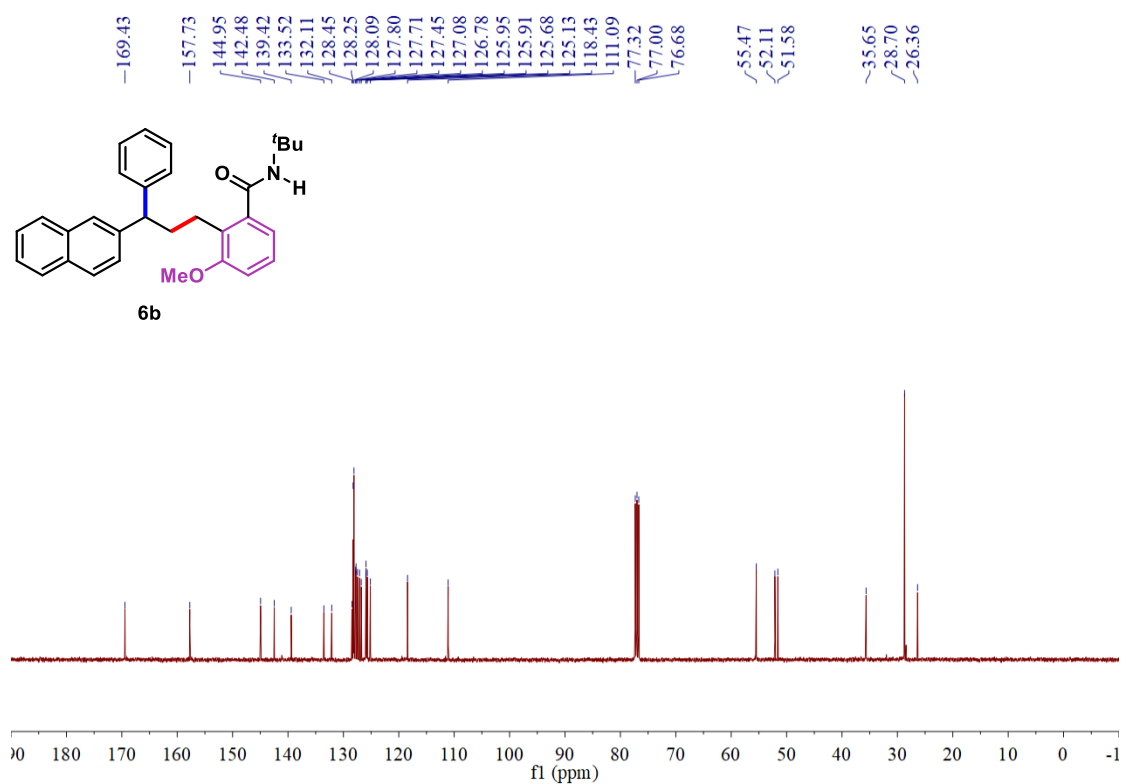
^{13}C NMR (101 MHz, CDCl_3) of 6a



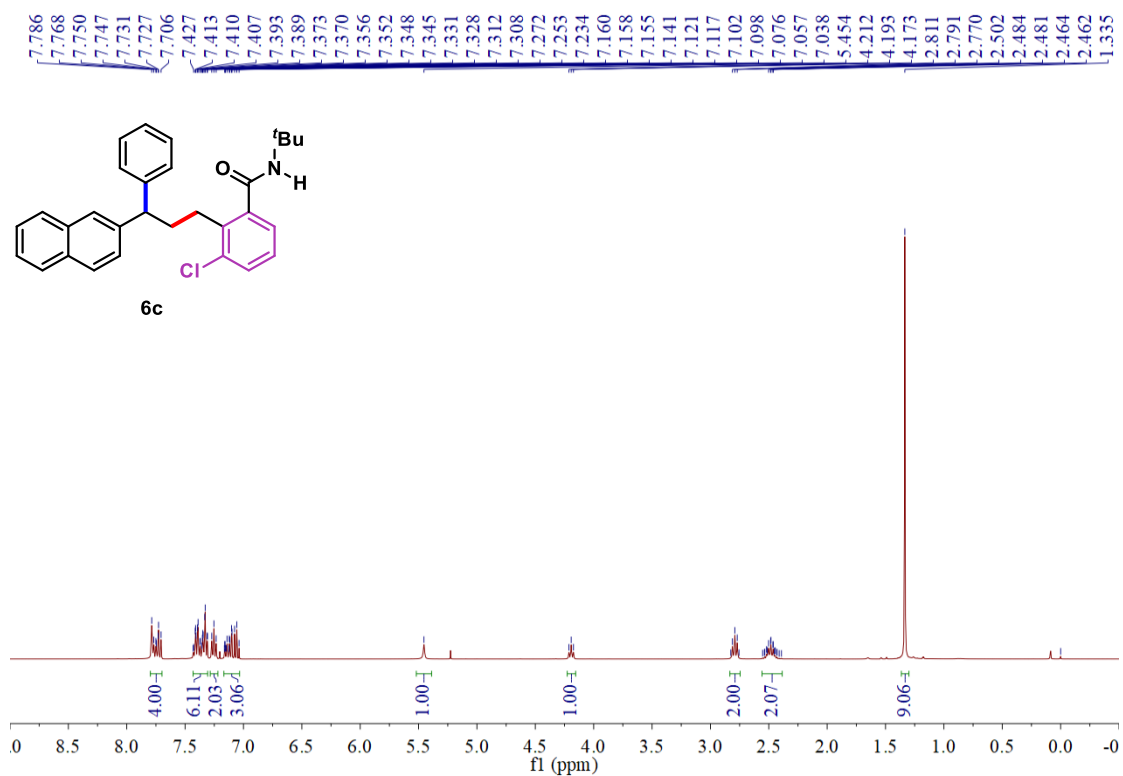
^1H NMR (400 MHz, CDCl_3) of 6b



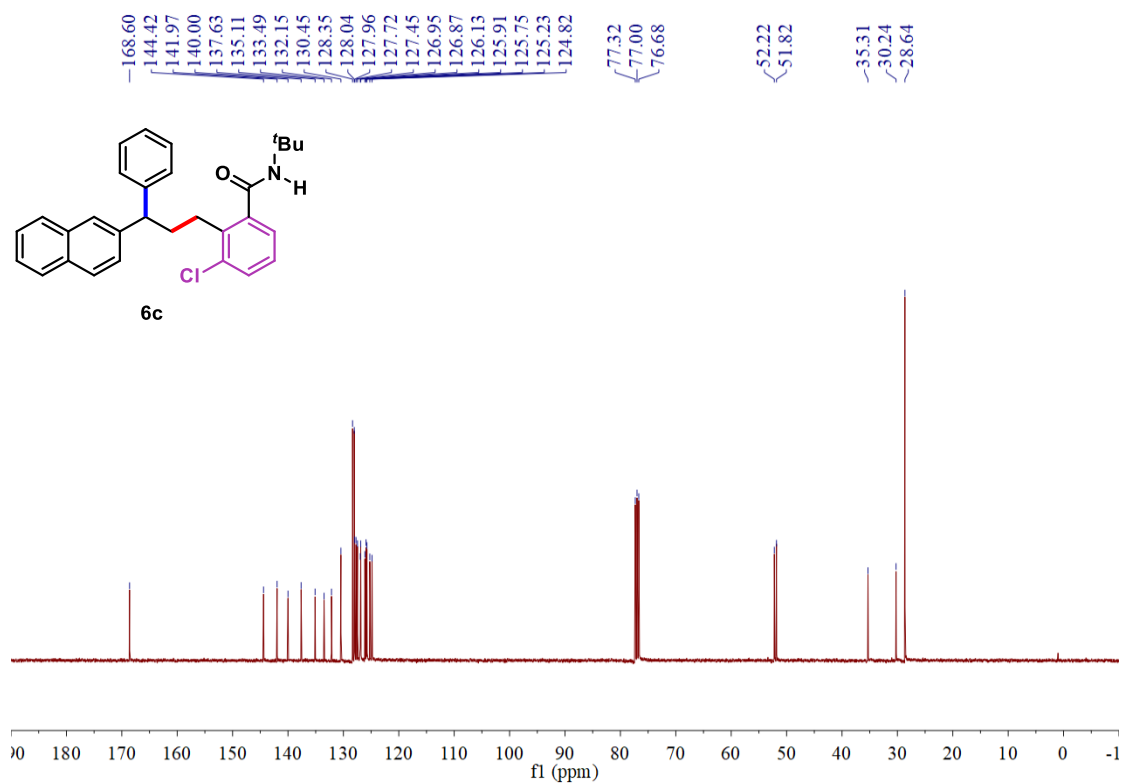
^{13}C NMR (101 MHz, CDCl_3) of 6b



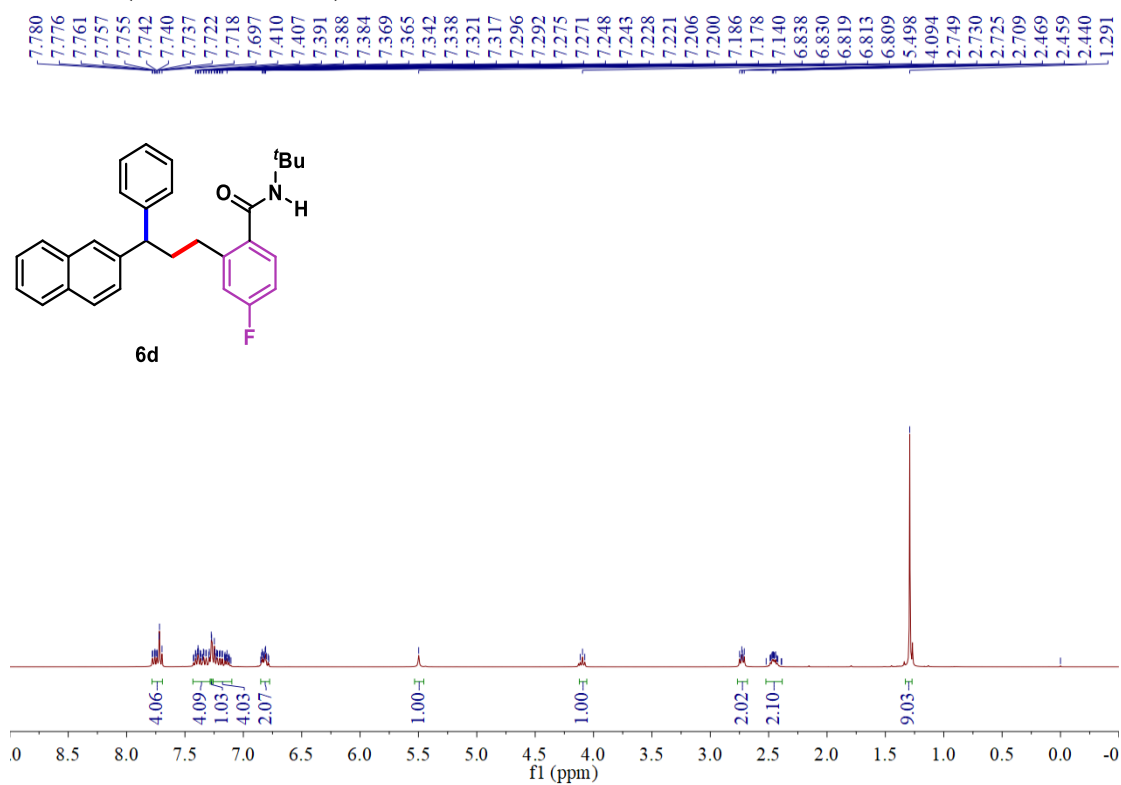
¹H NMR (400 MHz, CDCl₃) of 6c



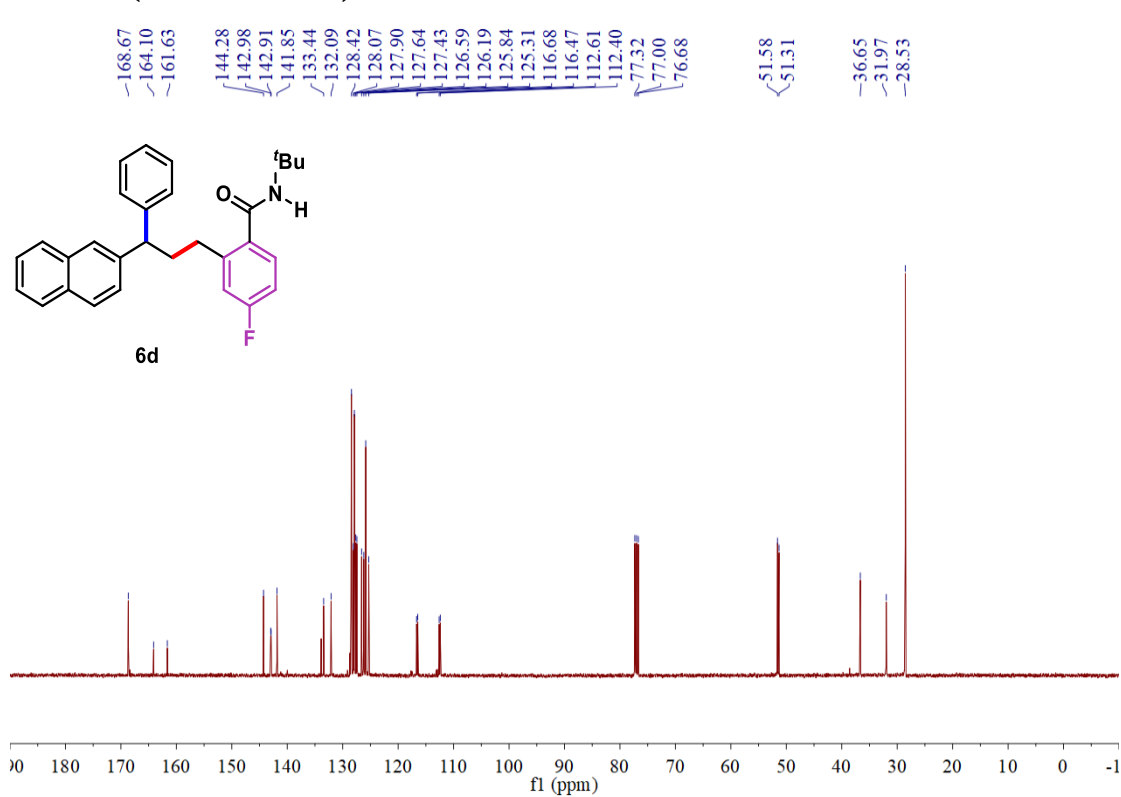
¹³C NMR (101 MHz, CDCl₃) of 6c



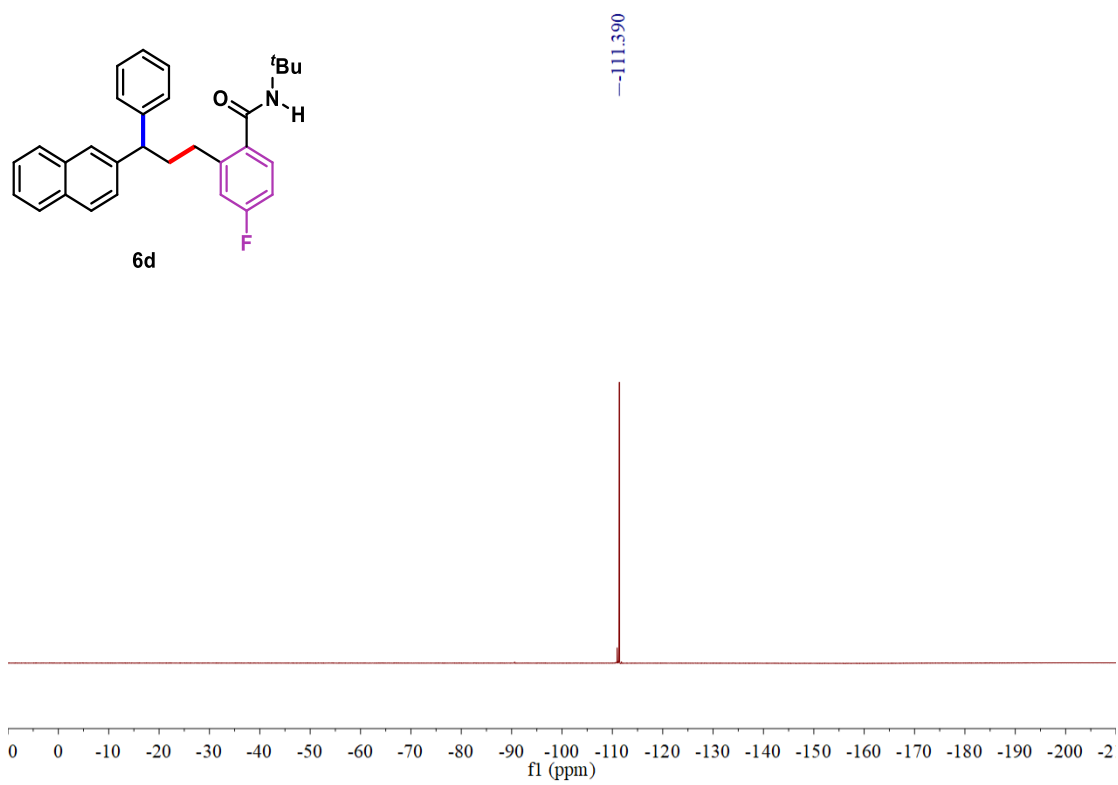
¹H NMR (400 MHz, CDCl₃) of 6d



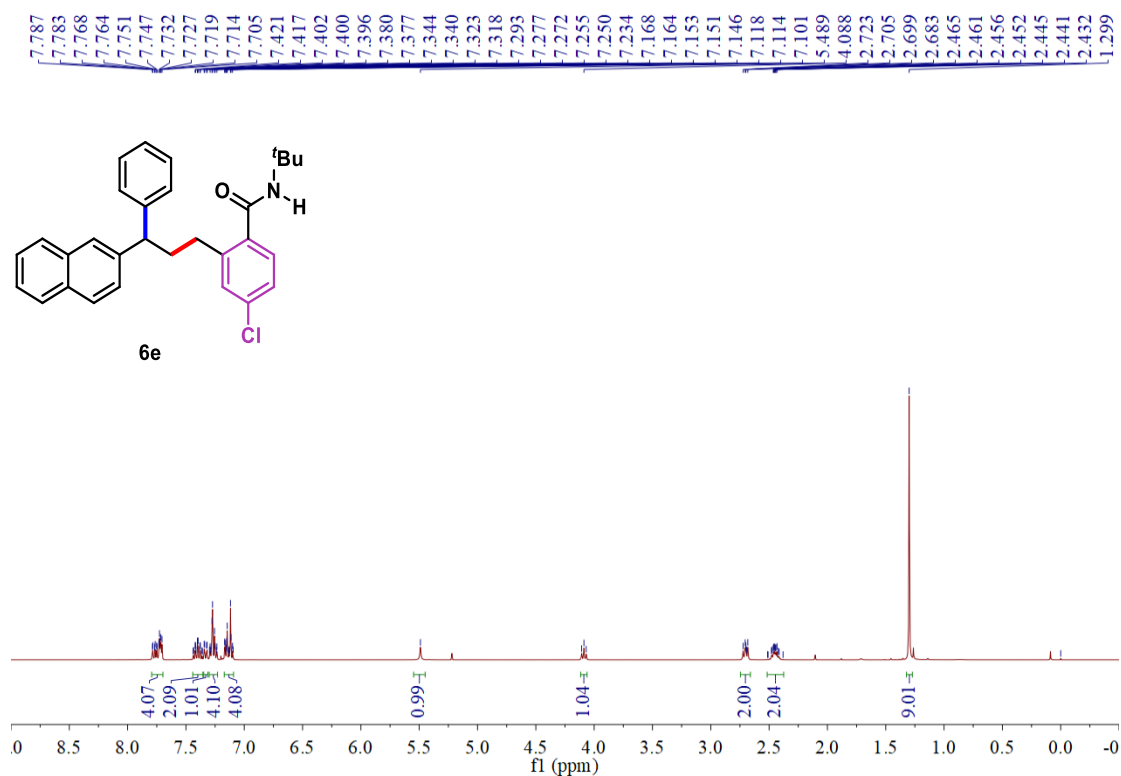
¹³C NMR (101 MHz, CDCl₃) of 6d



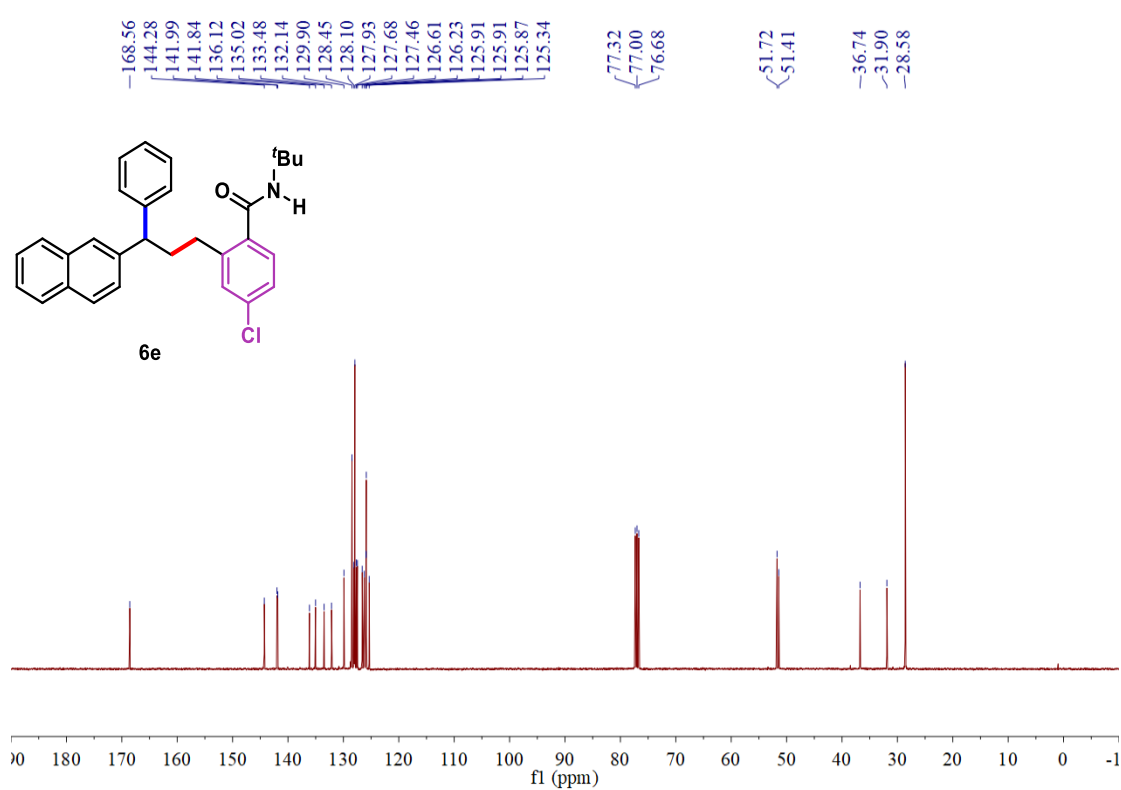
^{19}F NMR (376 MHz, CDCl_3) of 6d



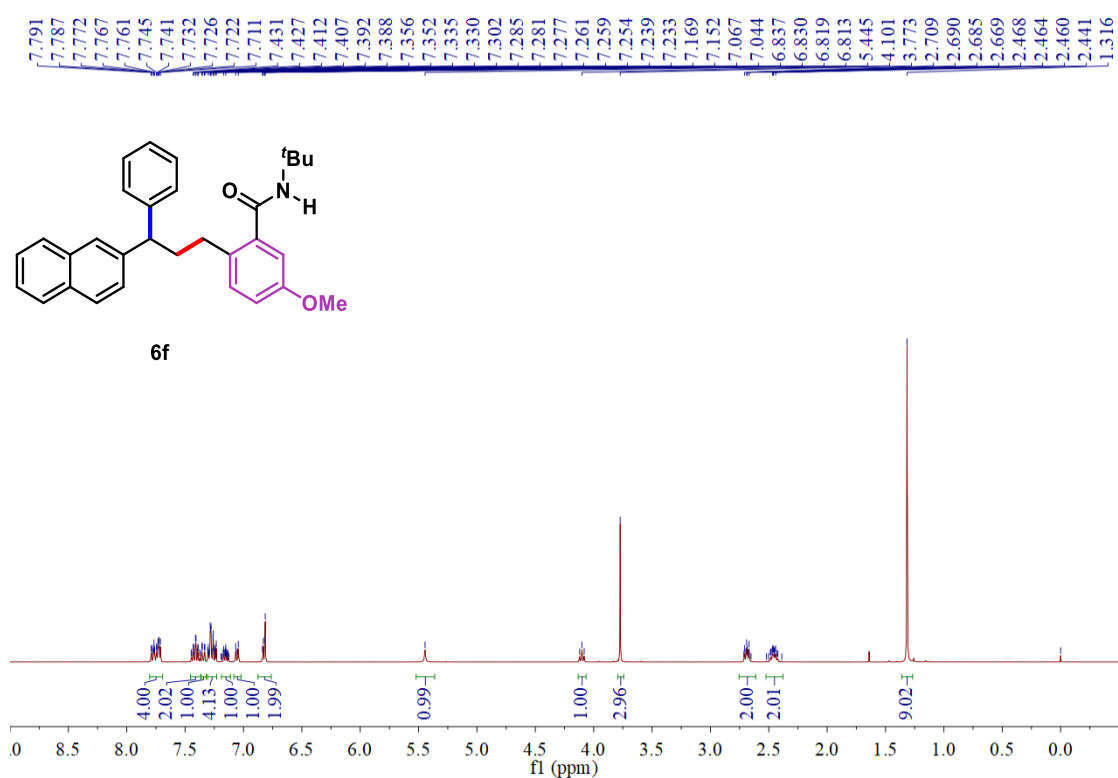
^1H NMR (400 MHz, CDCl_3) of 6e



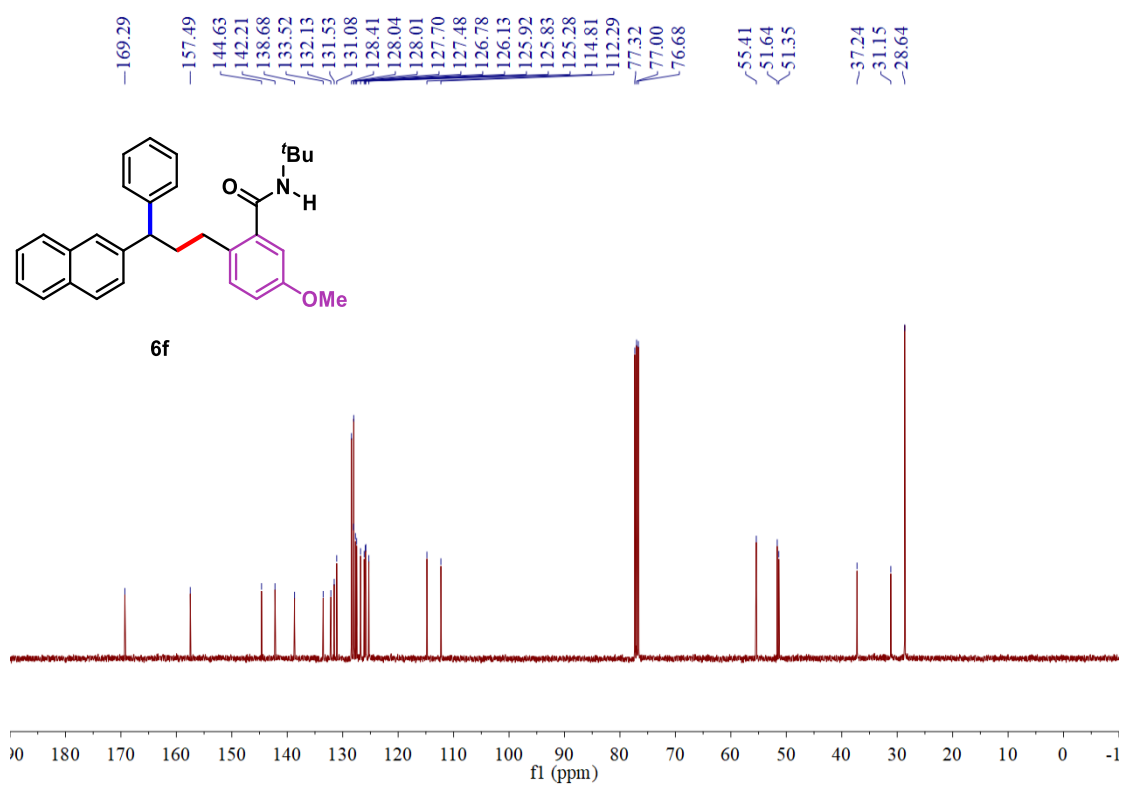
^{13}C NMR (101 MHz, CDCl_3) of 6e



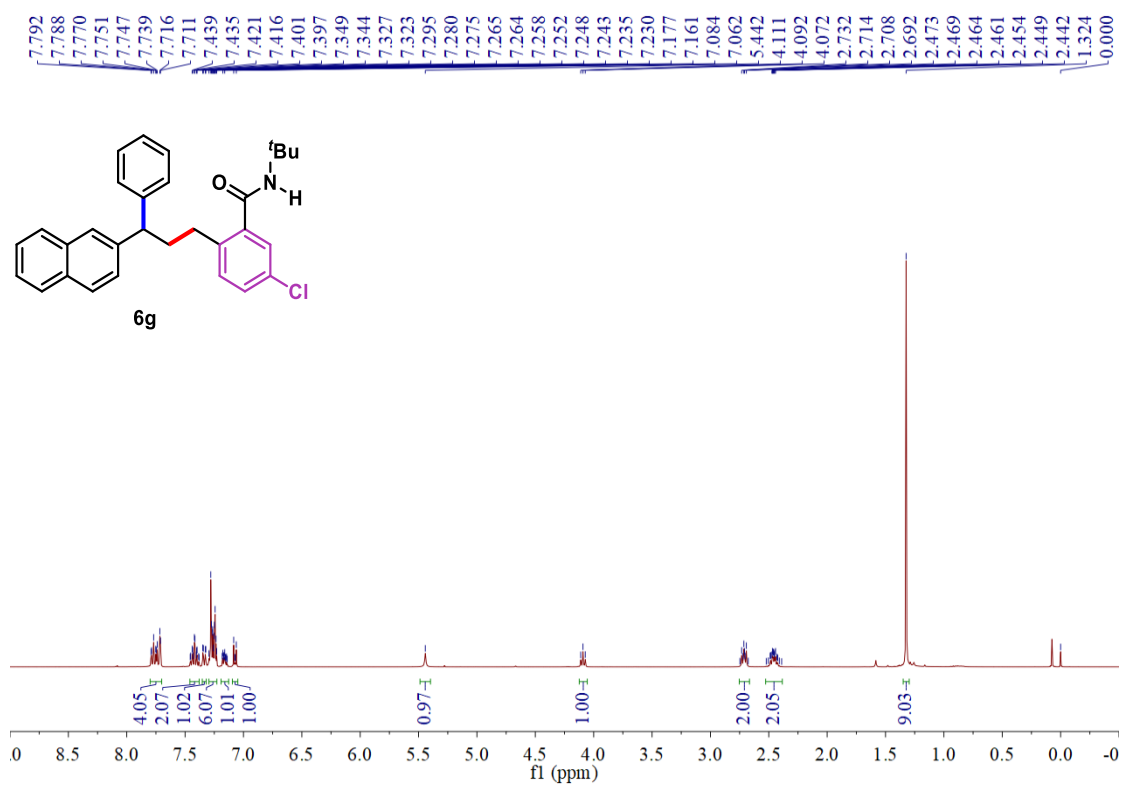
¹H NMR (400 MHz, CDCl₃) of 6f



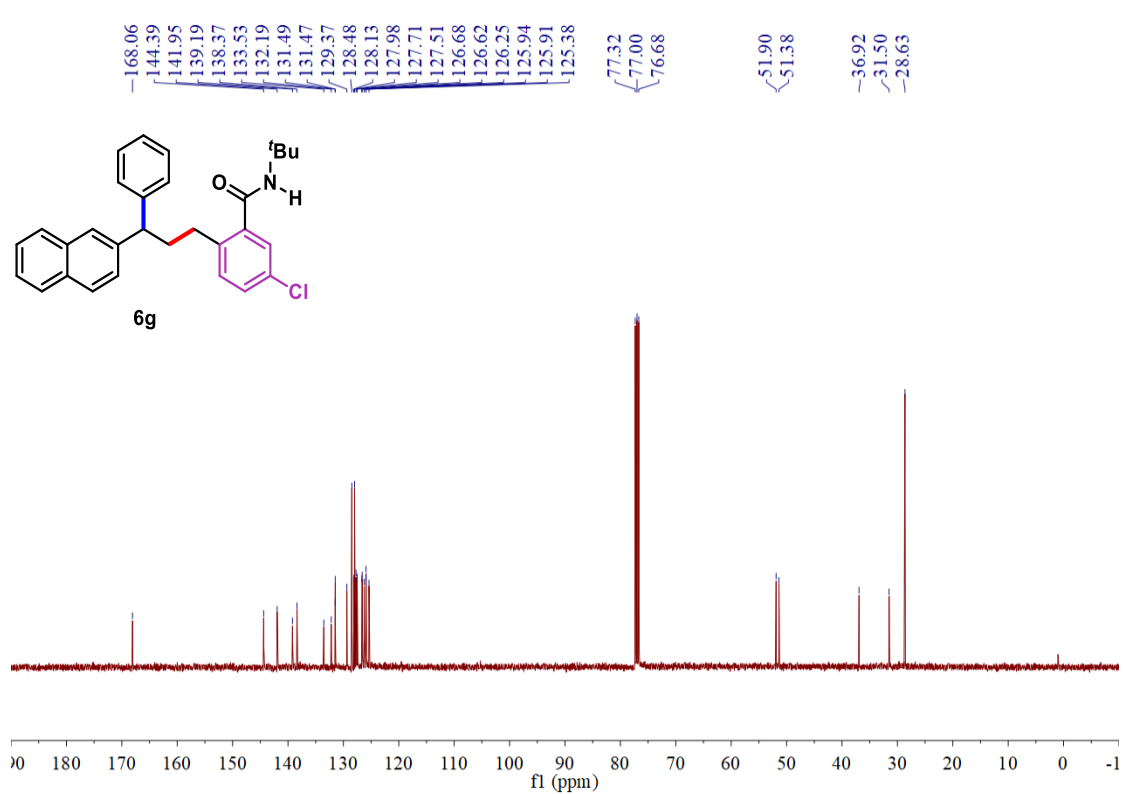
¹³C NMR (101 MHz, CDCl₃) of 6f



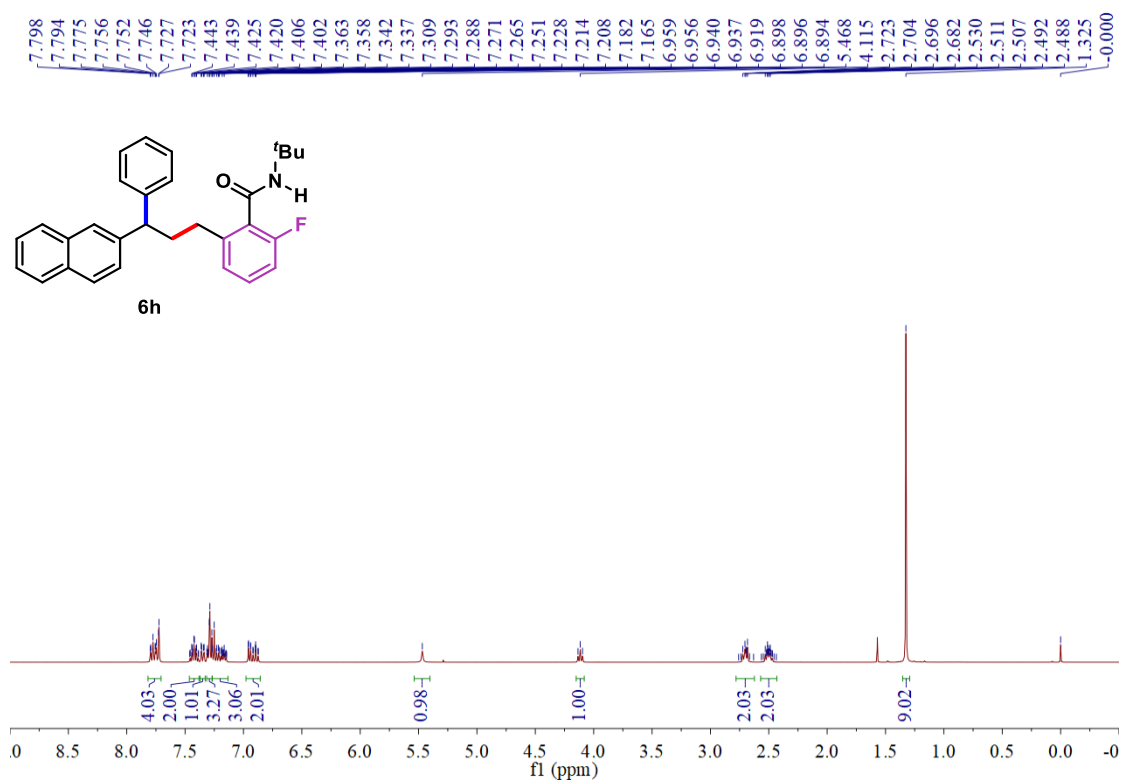
^1H NMR (400 MHz, CDCl_3) of 6g



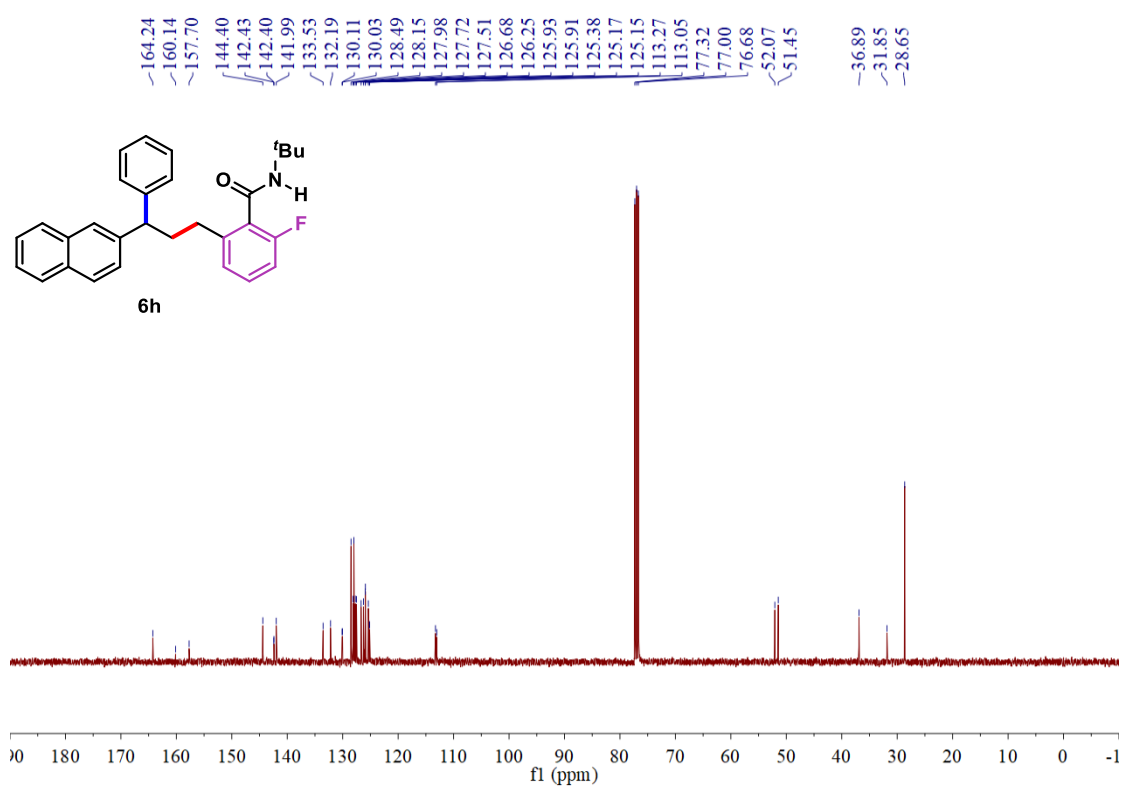
^{13}C NMR (101 MHz, CDCl_3) of 6g



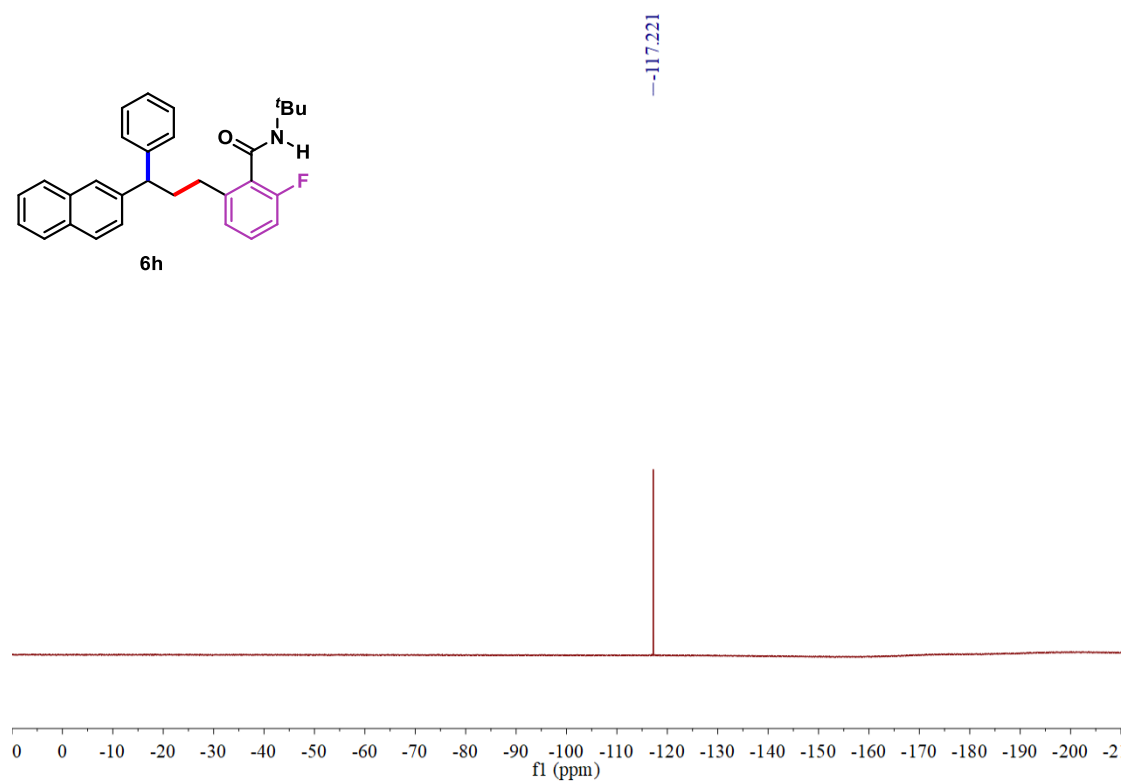
^1H NMR (400 MHz, CDCl_3) of 6h



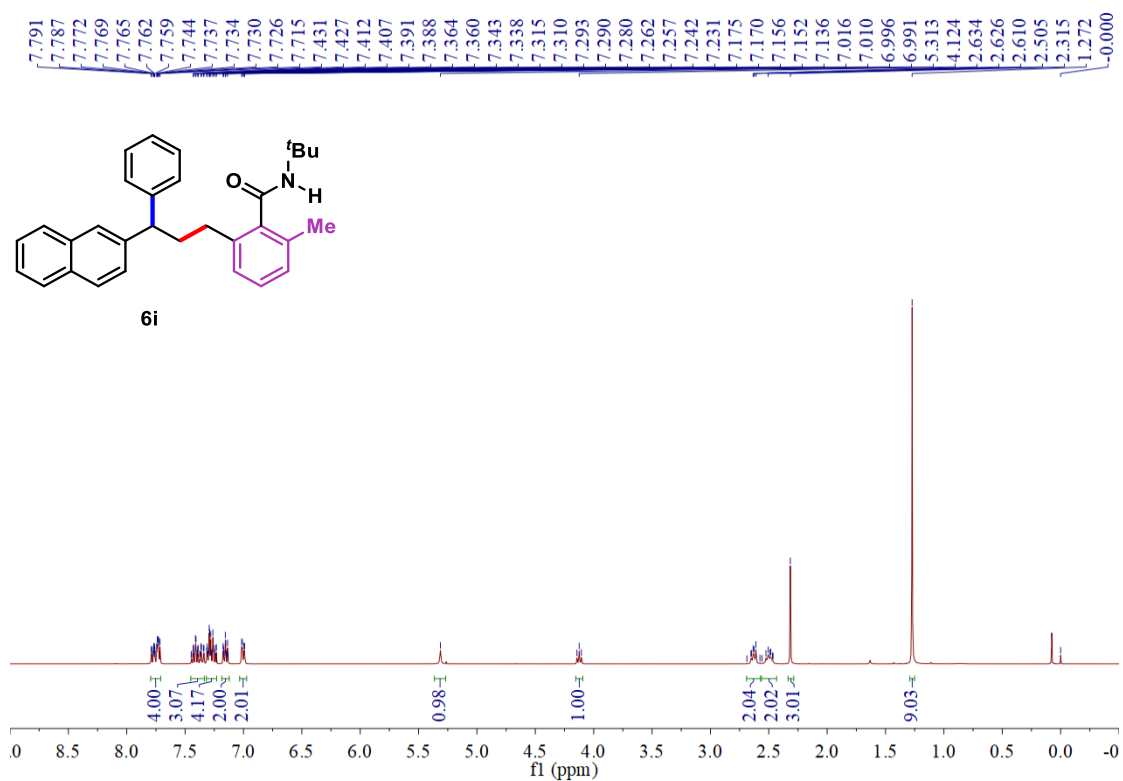
^{13}C NMR (101 MHz, CDCl_3) of 6h



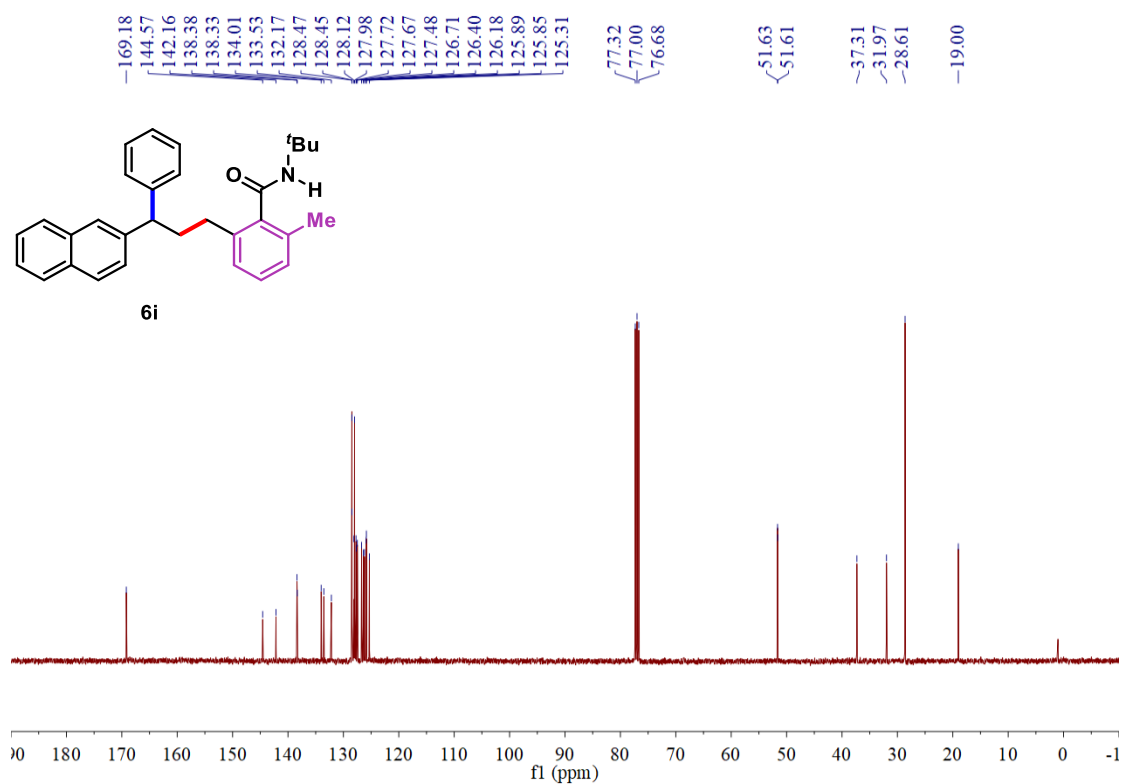
^{19}F NMR (376 MHz, CDCl_3) of 6h



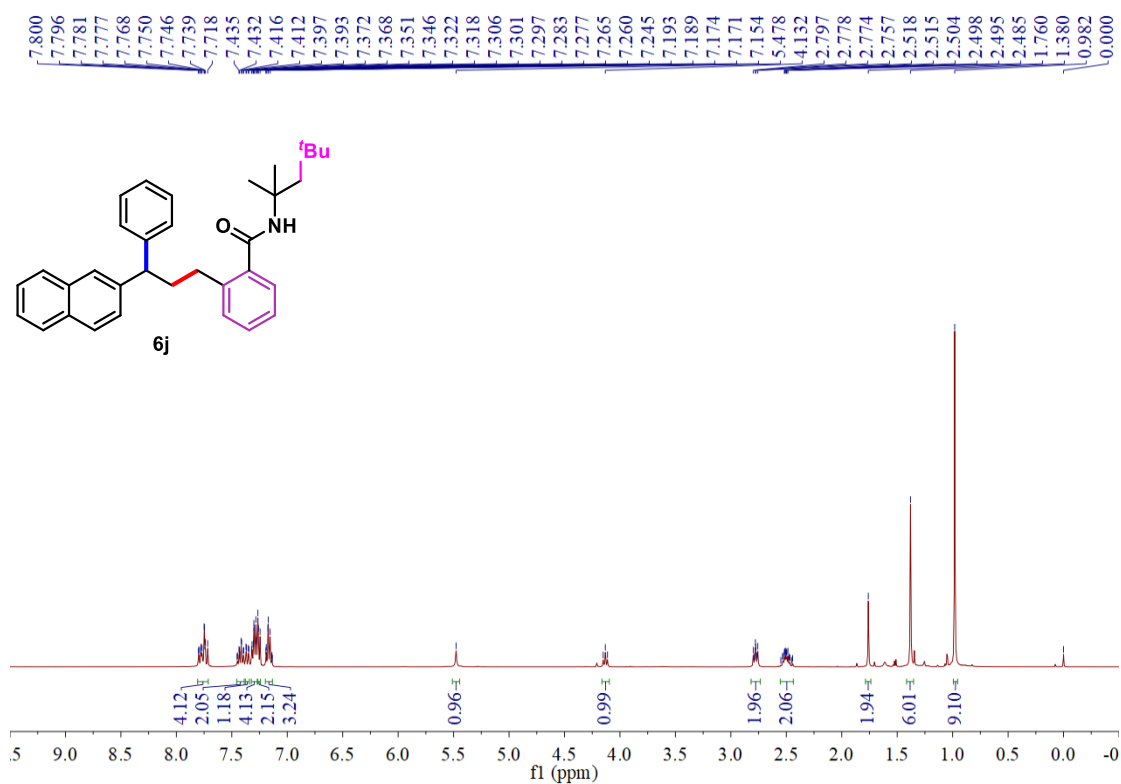
^1H NMR (400 MHz, CDCl_3) of 6i



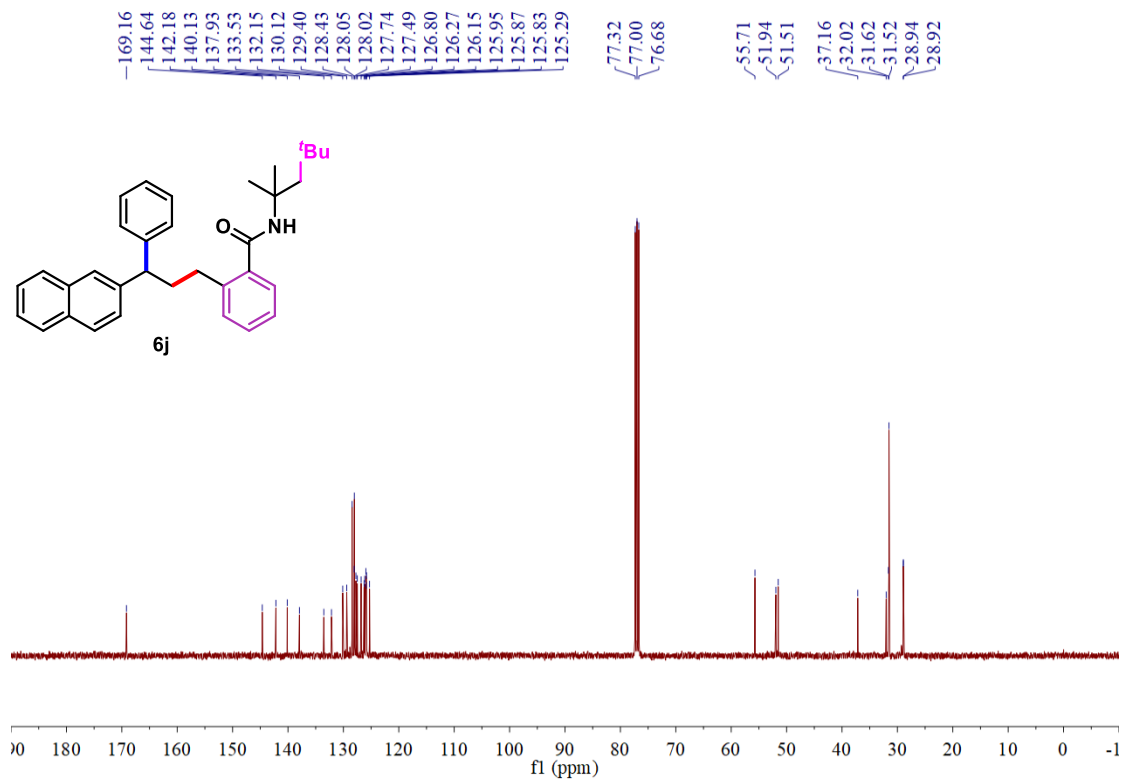
^{13}C NMR (101 MHz, CDCl_3) of 6i



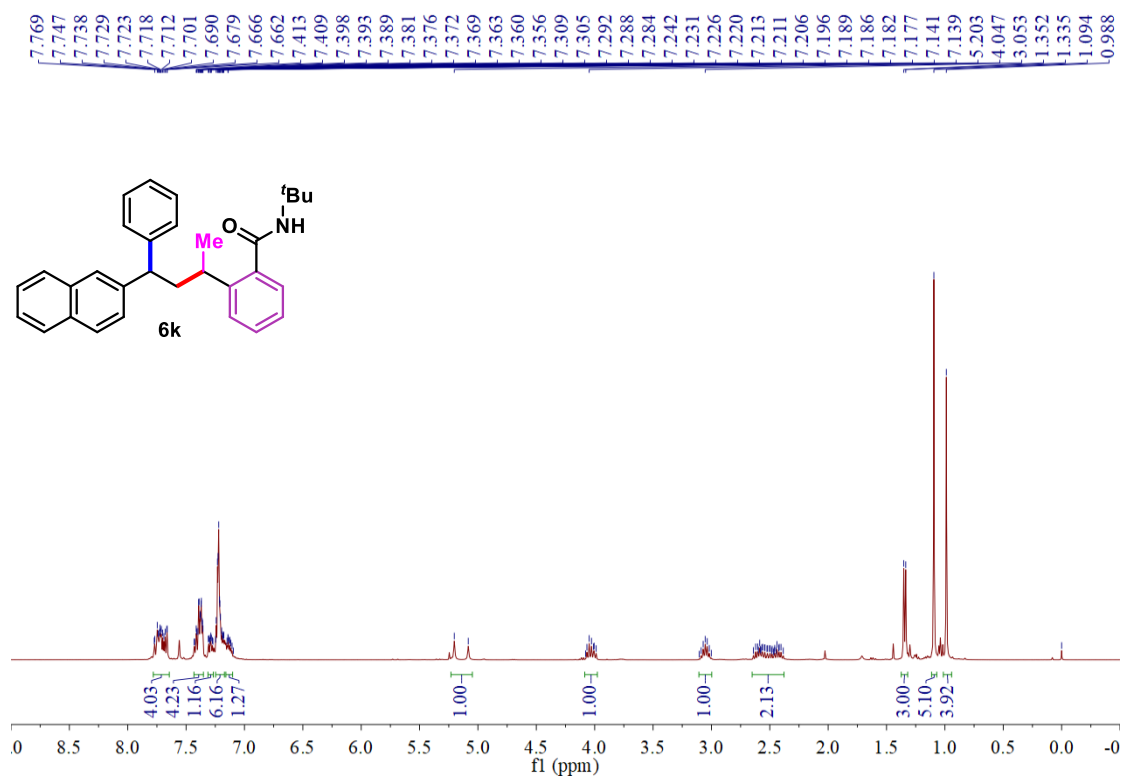
¹H NMR (400 MHz, CDCl₃) of 6j



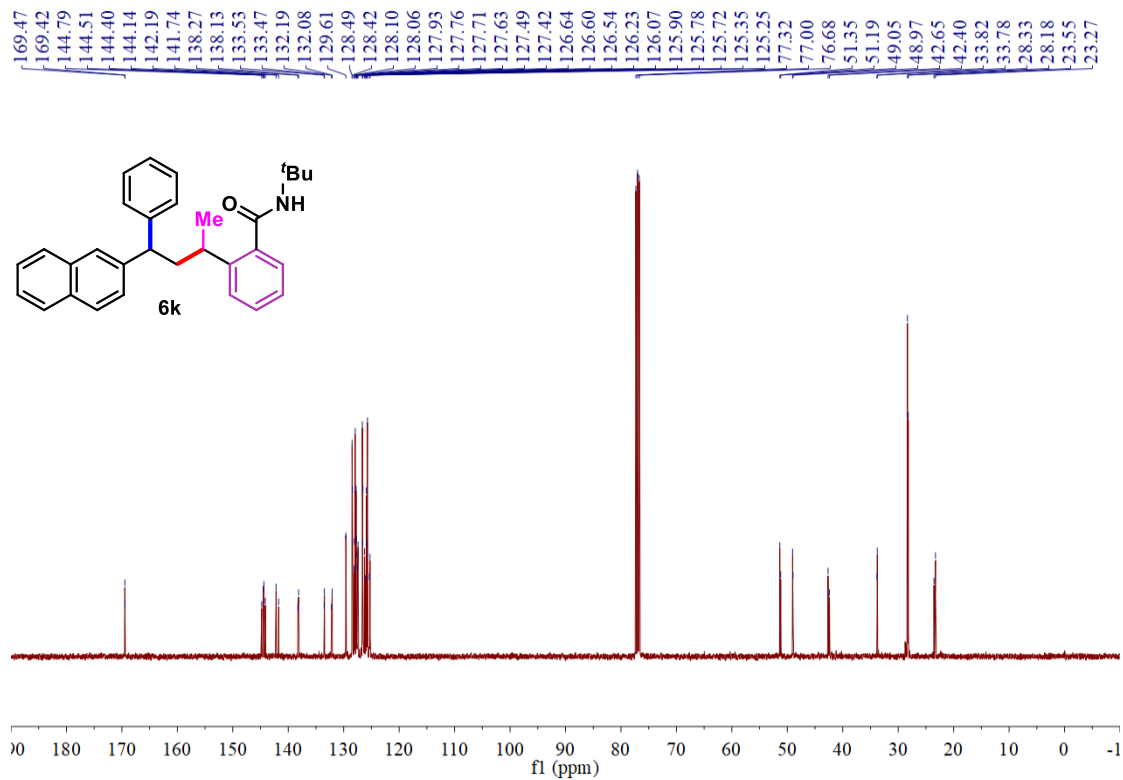
¹³C NMR (101 MHz, CDCl₃) of 6j



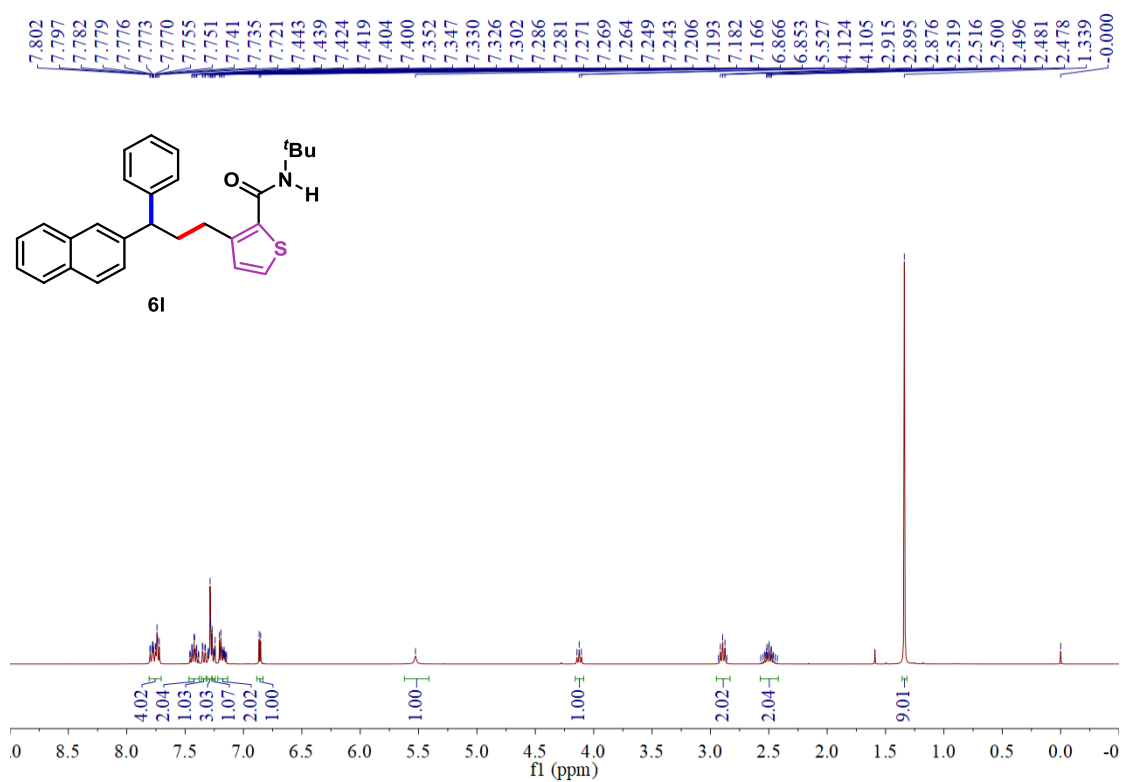
¹H NMR (400 MHz, CDCl₃) of 6k



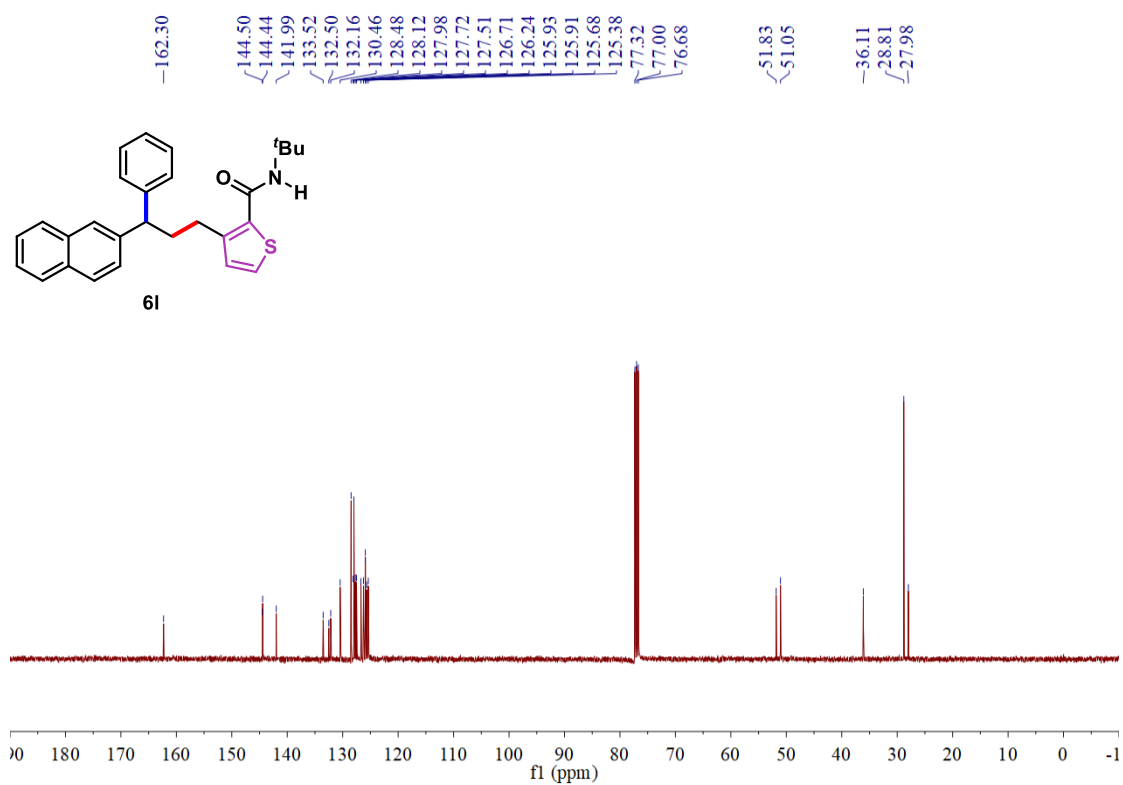
¹³C NMR (101 MHz, CDCl₃) of 6k



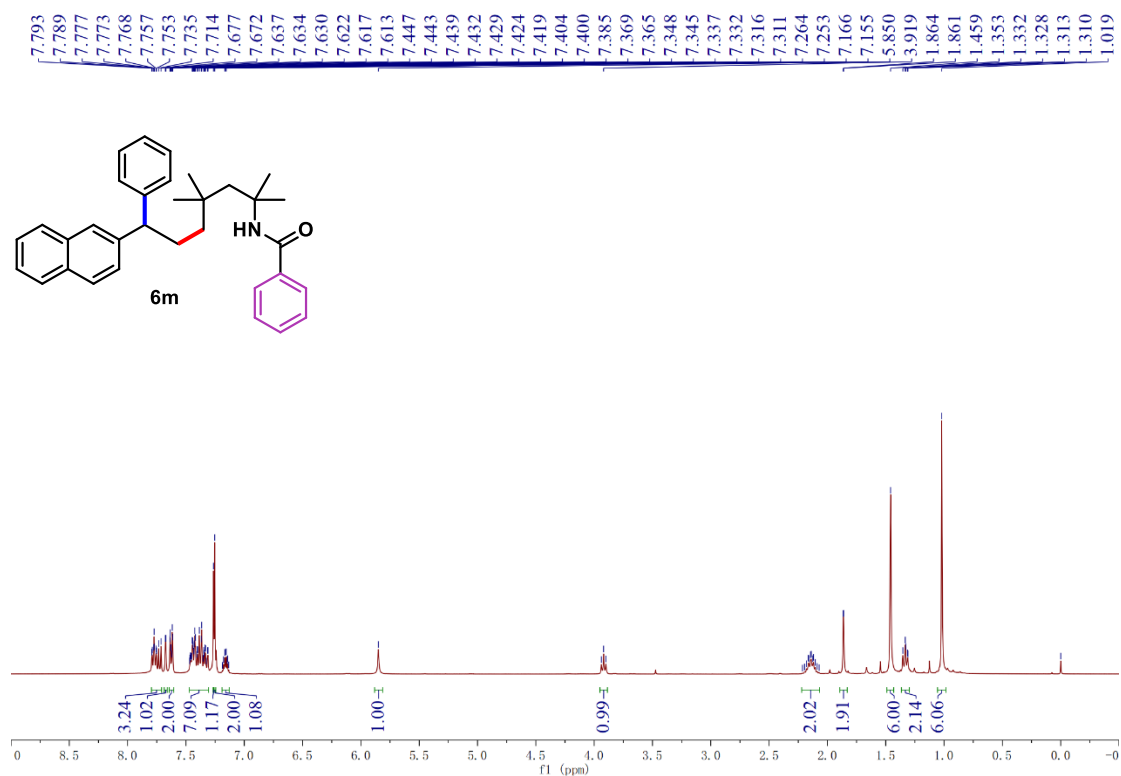
¹H NMR (400 MHz, CDCl₃) of 6l



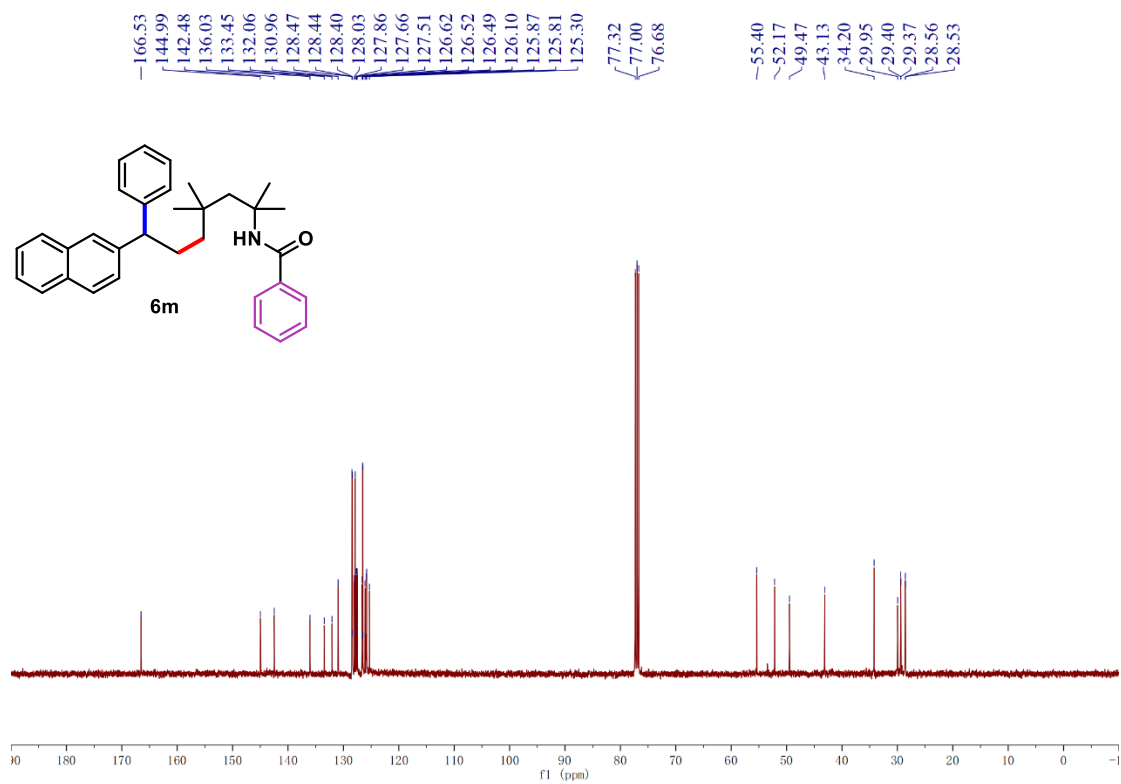
¹³C NMR (101 MHz, CDCl₃) of 6l



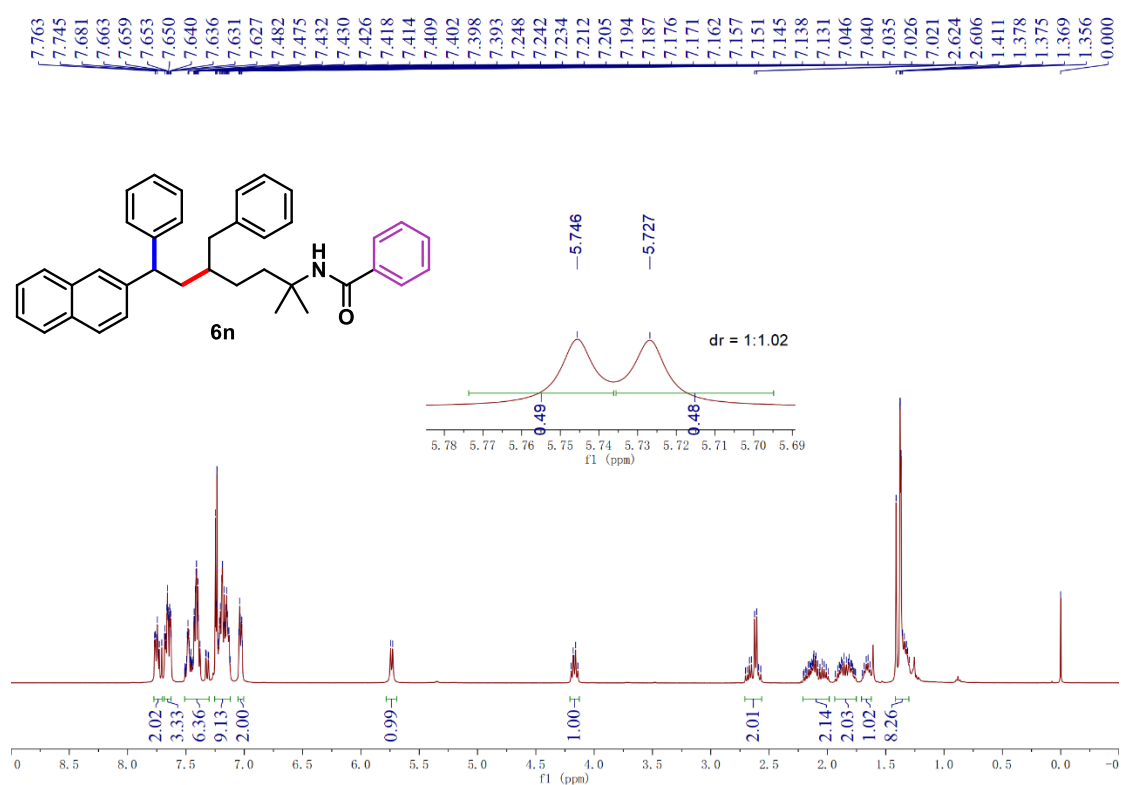
¹H NMR (400 MHz, CDCl₃) of 6m



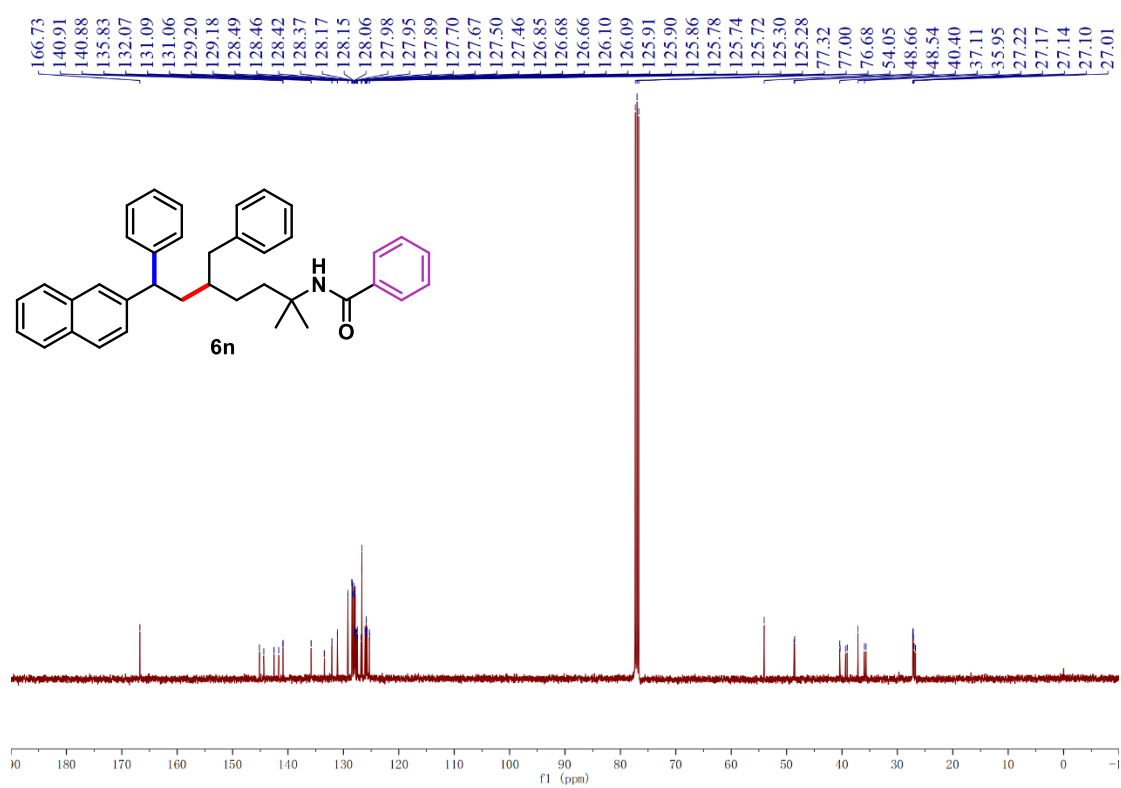
¹³C NMR (101 MHz, CDCl₃) of 6m



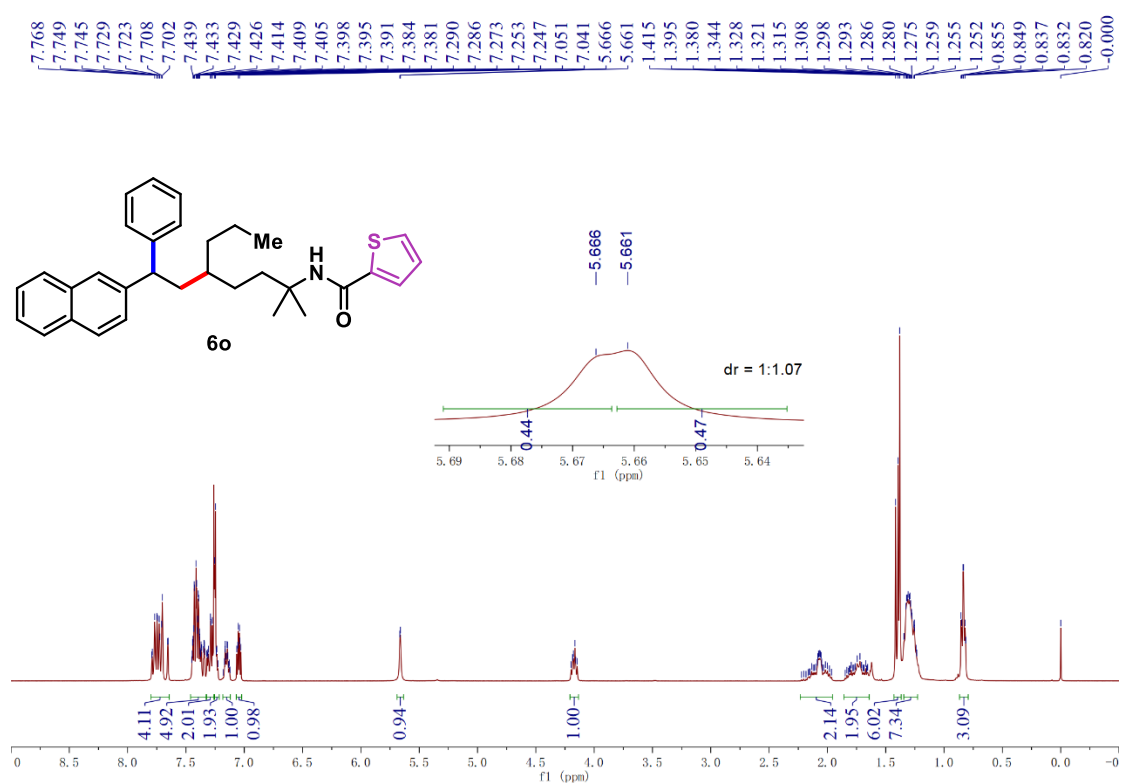
¹H NMR (400 MHz, CDCl₃) of 6n



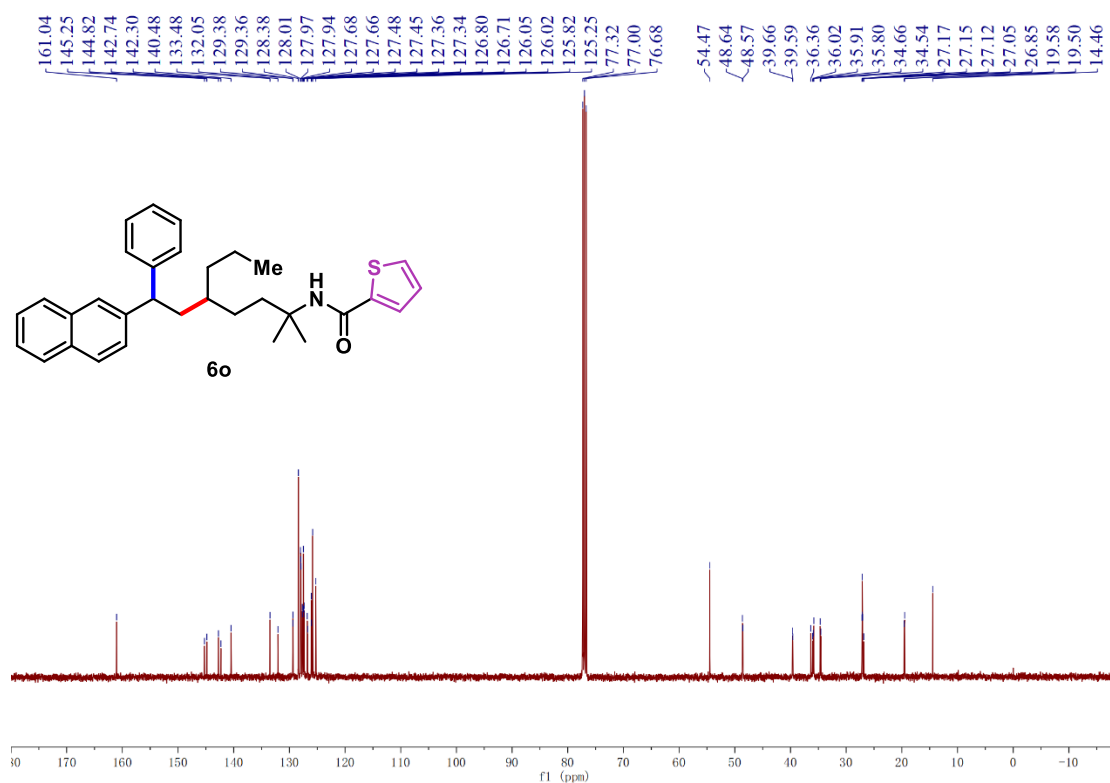
¹³C NMR (101 MHz, CDCl₃) of 6n



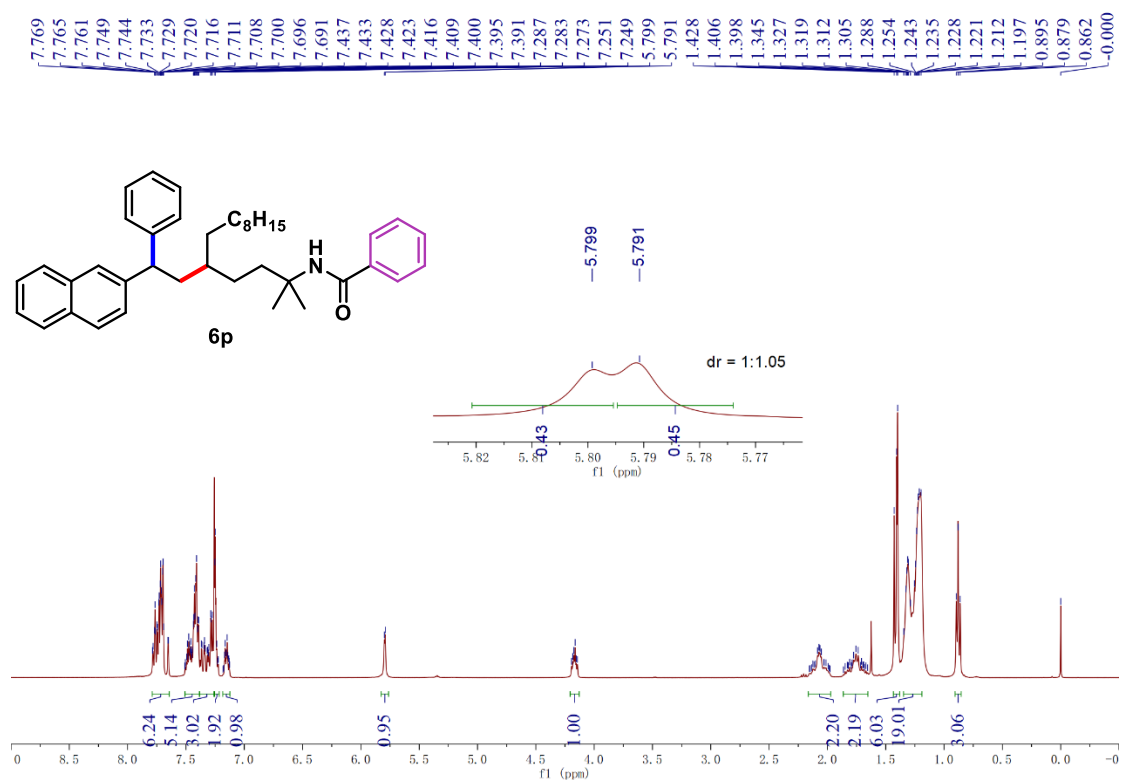
¹H NMR (400 MHz, CDCl₃) of 6o



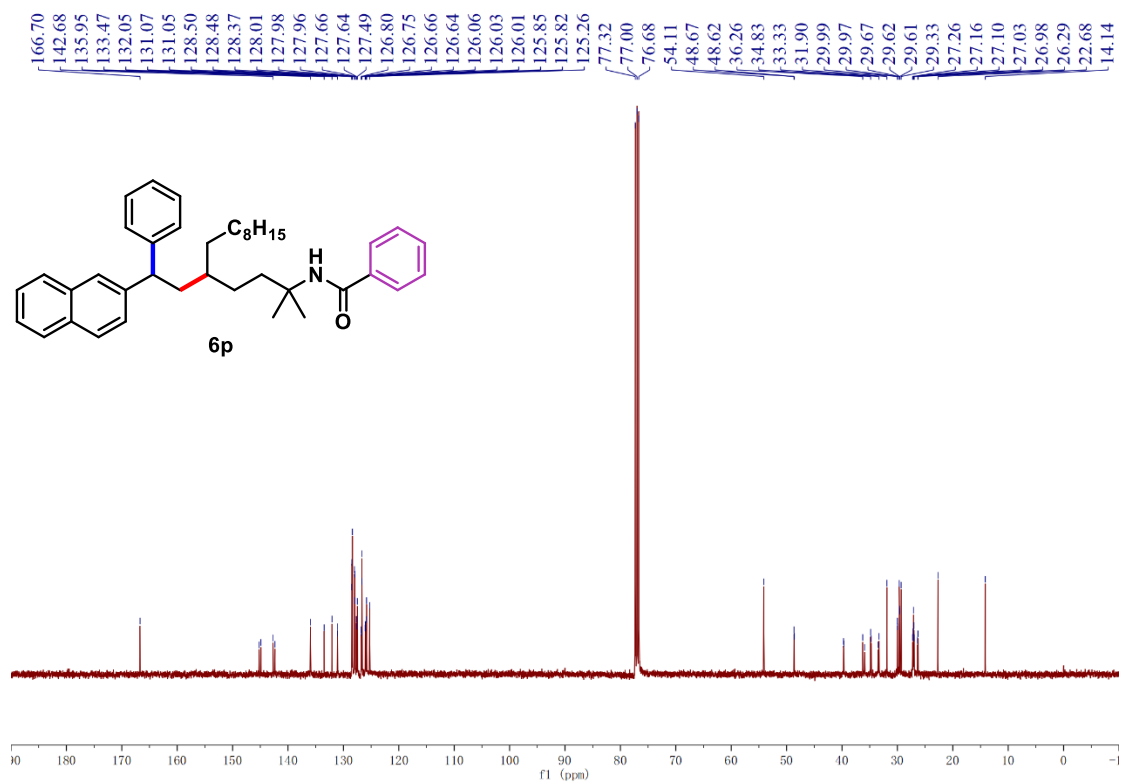
¹³C NMR (101 MHz, CDCl₃) of 6o



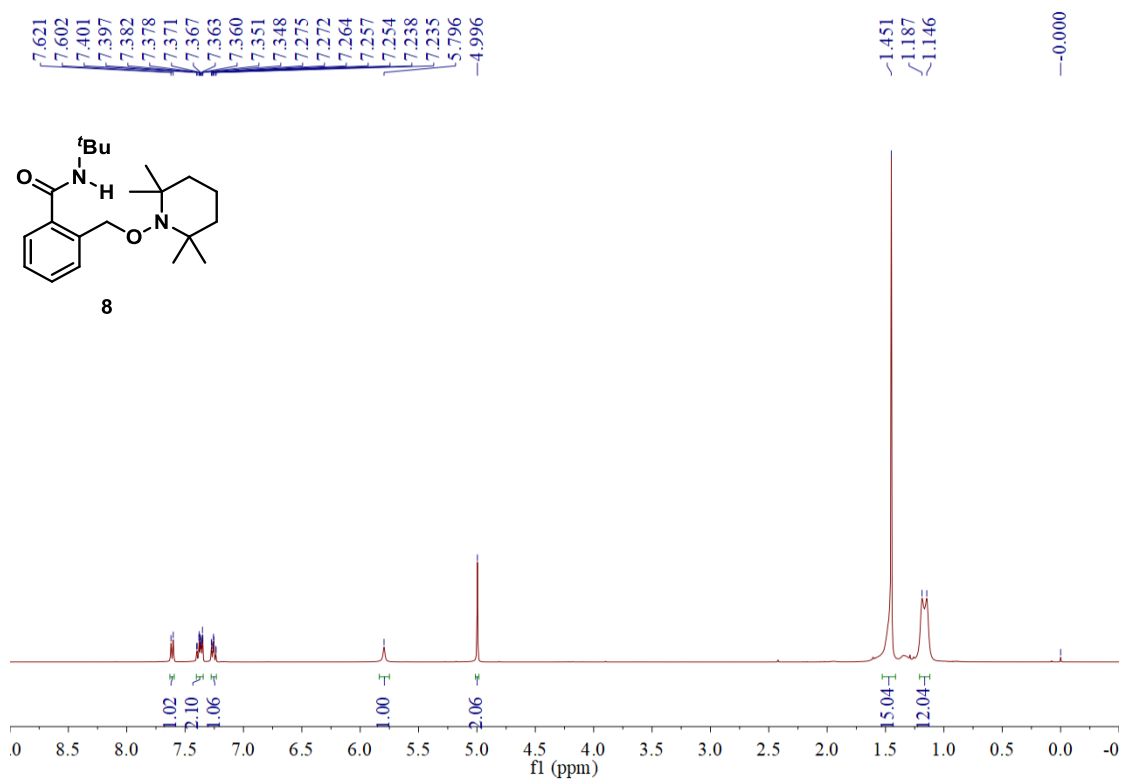
¹H NMR (400 MHz, CDCl₃) of 6p



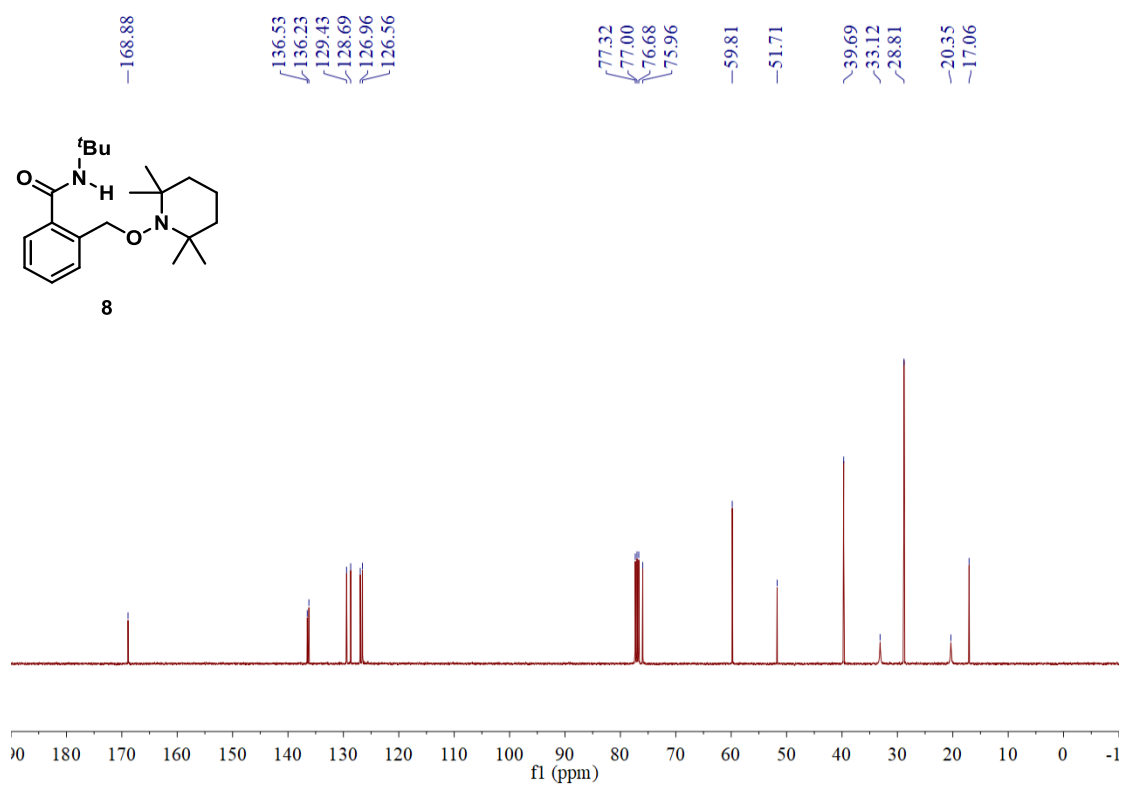
¹³C NMR (101 MHz, CDCl₃) of 6p



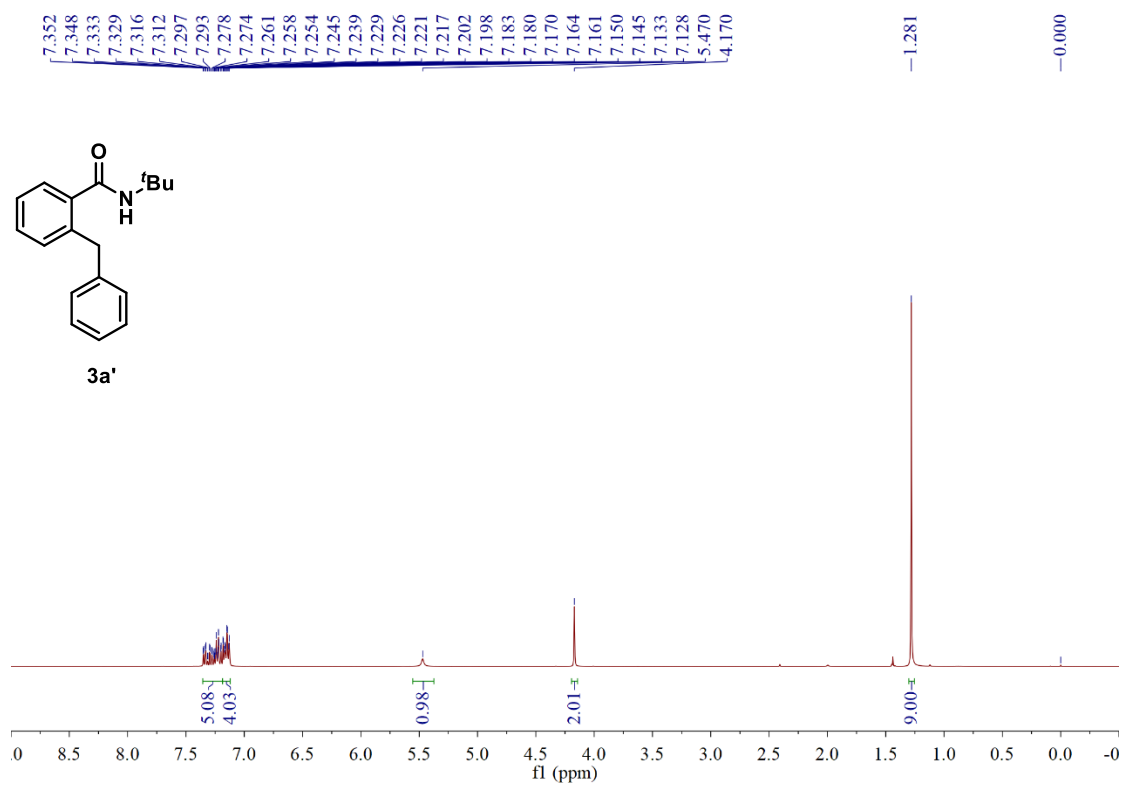
¹H NMR (400 MHz, CDCl₃) of 8



¹³C NMR (101 MHz, CDCl₃) of 8



^1H NMR (400 MHz, CDCl_3) of **3a'**



^{13}C NMR (101 MHz, CDCl_3) of **3a'**

