

Supporting Information

Photocatalytic Installation of N-Acyl-N,O-Acetal Linkers at Pyridine through Aminocyclopropane Ring-Opening

Doyoung Kim, Eunseon Yang, Yoonhee Cho and Sungwoo Hong*

Department of Chemistry, Korea Advanced Institute of Science and Technology (KAIST), and Center for Catalytic Hydrocarbon Functionalizations, Institute for Basic Science (IBS), Daejeon 34141, Korea

Contents

I. General Methods and Materials

II. General Procedures for Substrate Preparation

III. General Procedures for Ring Opening and Further Transformation

IV. Optimization Studies

V. Mechanistic Studies

VI. Unsuccessful Result

VII. References

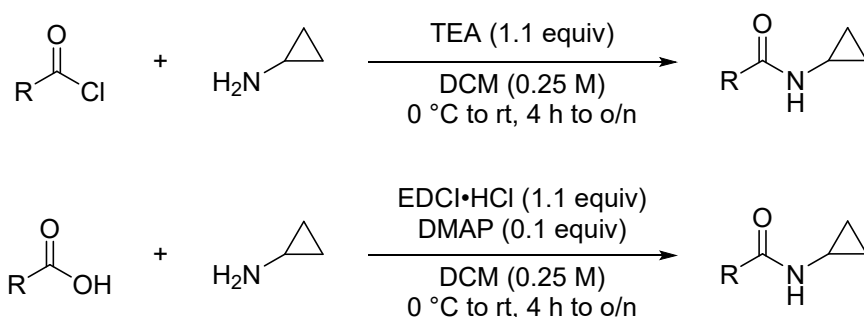
VIII. Compound Characterizations

IX. Spectral Copies of ^1H -, ^{13}C -, ^{19}F -, ^{31}P - NMR Data

I. General Methods and Materials

Unless stated otherwise, reactions were performed in oven-dried glassware. All commercially available reagents were purchased from Sigma-Aldrich, Alfa Aesar, TCI Chemicals, Acros Organics, Angene Chemicals, BLDpharm. Commercial grade reagents and solvents were used without further purification. Analytical thin layer chromatography (TLC) was performed on precoated silica gel 60 F²⁵⁴ plates and visualization on TLC was achieved by UV light (254 and 365 nm). Flash column chromatography was performed on silica gel (400-630 mesh) or a CombiFlash® R_f⁺ system with RediSep® R_f silica columns (230-400 mesh) using a proper eluent. ¹H NMR was recorded on Bruker Ascend 400 MHz, Bruker Avance 500 MHz, Agilent Technologies DD2 600 MHz. Chemical shifts were quoted in parts per million (ppm) referenced to the appropriate solvent peak (e.g. 7.26 ppm for CDCl₃). The following abbreviations were used to describe peak splitting patterns when appropriate: br = broad, s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublet, td = triplet of doublet, ddd = doublet of doublet of doublet. Coupling constants, *J*, were reported in the hertz unit (Hz). ¹³C NMR Bruker Ascend 100 MHz, Bruker Avance 125 MHz and was fully decoupled by broad band proton decoupling. Chemical shifts were reported in ppm referenced to the centerline of a triplet at 77.16 ppm of CDCl₃. ¹⁹F NMR was recorded on Bruker Ascend (301 MHz), Bruker Avance (376 MHz). High-resolution mass spectra were obtained by using ESI from Korea Basic Science Institute (Ochang).

II. General Procedures for Substrate Preparation



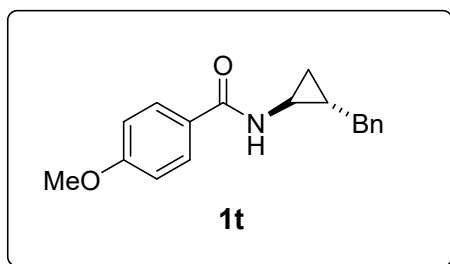
Scheme S1. Preparation of aminocyclopropane

Synthesis of aminocyclopropane from acyl chloride

To a solution of cyclopropylamine (1.1 equiv) in DCM (0.25 M) was added TEA (1.1 equiv), acyl chloride (1.0 equiv) in DCM (0.25 M) dropwise at 0 °C. Reaction mixture slowly warm up to rt and react for 4 to 16 h. After the reaction finished, quench (1N HCl) and extract with DCM for three times. The combined organic extracts were washed sequentially with 1N NaOH, brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. Purity was examined, and the compound was used without further purification when clean. Otherwise, additional purification was performed by column chromatography (EA & Hx or DCM & MeOH).

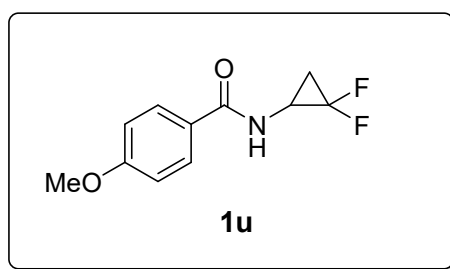
Synthesis of aminocyclopropane from carboxylic acid

To a solution of carboxylic acid (1.0 equiv) in DCM (0.25 M) was added 1-Ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride (EDCI·HCl, 1.1 equiv), 4-(Dimethylamino)pyridine (DMAP, 0.1 equiv) at 0 °C. After 15 min, cyclopropylamine (1.1 equiv) was added and slowly warm up to rt. After reaction finished, reaction mixture extracts with DCM for 3 times and washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by flash column chromatography (EA & Hx or DCM & MeOH), affording the desired aminocyclopropane.

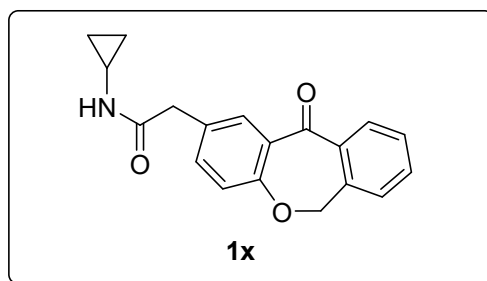


N-(trans-2-benzylcyclopropyl)-4-methoxybenzamide (1t). Yield : 20% (223 mg). White solid. ¹H NMR (500 MHz, CDCl₃) δ 7.70 (d, *J* = 8.8 Hz, 2H), 7.33 – 7.24 (m, 4H), 7.25 – 7.15 (m, 1H), 6.93-6.80 (m, 2H), 6.28 (s, 1H), 3.82 (s, 3H), 2.89 (dd, *J* = 14.7, 6.3 Hz, 1H), 2.80 (dd, *J* = 7.1, 3.6 Hz, 1H), 2.51 (dd, *J* = 14.7, 7.5 Hz, 1H), 1.38 – 1.18 (m, 1H), 0.87 – 0.76 (m, 2H). ¹³C NMR

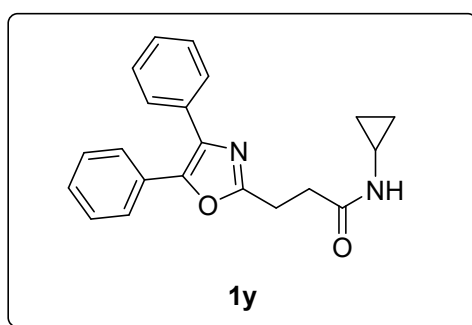
(125 MHz, CDCl₃) 168.3, 162.3, 140.9, 128.8, 128.6, 128.5, 126.8, 126.2, 113.8, 55.5, 38.2, 29.7, 21.4, 14.0. HRMS (ESI, m/z) calculated for C₁₈H₂₀NO₂⁺: 282.1494, found: 282.1495, calculated for C₁₈H₁₉NO₂Na⁺: 304.1313, found: 304.1314



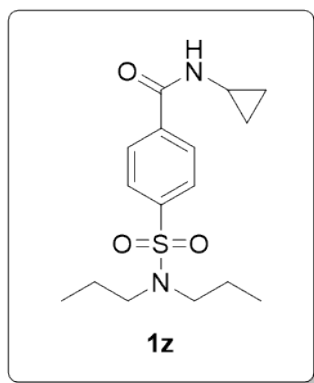
N-(2,2-difluorocyclopropyl)-4-methoxybenzamide (1u). Yield: 18% (202 mg). White solid. ¹H NMR (600 MHz, CDCl₃) δ 7.73 (dd, *J* = 8.1, 1.5 Hz, 2H), 7.09 – 6.88 (m, 2H), 6.47 – 6.19 (m, 1H), 3.85 (d, *J* = 1.0 Hz, 3H), 3.52 (tdd, *J* = 9.6, 5.8, 2.9 Hz, 1H), 1.89 (dtd, *J* = 13.1, 9.3, 6.5 Hz, 1H), 1.44 (td, *J* = 9.1, 4.4 Hz, 1H). ¹³C NMR (125 MHz, CDCl₃) δ 167.9, 162.6, 128.9, 125.5, 113.8, 110.3 (m), 55.4, 30.8 (dd, *J* = 15.1, 9.2 Hz), 19.1 (t, *J* = 10.0 Hz). ¹⁹F NMR (376 MHz, CDCl₃) δ -131.18 (d, *J* = 162.4 Hz, 1F), -143.56 (d, *J* = 162.3 Hz, 1F). HRMS (ESI, m/z) calculated for C₁₁H₁₂NO₂F₂⁺: 228.0836, found: 228.0836, calculated for C₁₁H₁₁NO₂F₂Na⁺: 250.0656, found: 250.0655.



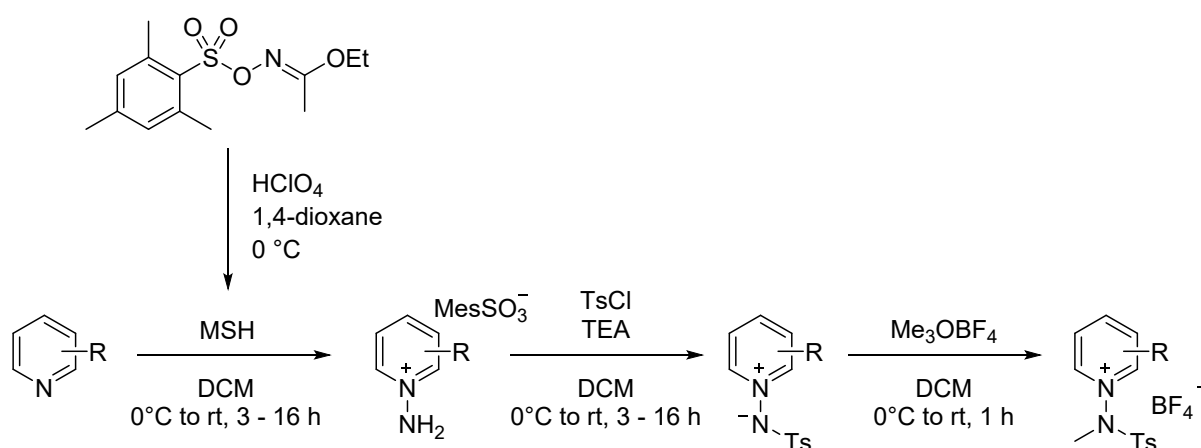
N-cyclopropyl-2-(11-oxo-6,11-dihydrodibenzo[b,e]oxepin-2-yl)acetamide (1x). Yield: 48% (222.7 mg) Yellow solid. Rotamer. ¹H NMR (500 MHz, CDCl₃) δ 8.15 – 8.01 (m, 1H), 7.89 (d, *J* = 6.1 Hz, 1H), 7.57 (td, *J* = 7.5, 1.4 Hz, 1H), 7.48 (td, *J* = 7.6, 1.3 Hz, 1H), 7.42 (dd, *J* = 8.4, 2.4 Hz, 1H), 7.38 (dd, *J* = 7.5, 1.3 Hz, 1H), 7.05 (d, *J* = 8.5 Hz, 1H), 5.54 (s, 1H), 5.20 (s, 2H), 3.53 (s, 2H), 2.67 (tq, *J* = 7.1, 3.6 Hz, 1H), 0.78 – 0.71 (m, 2H), 0.47 – 0.41 (m, 2H). ¹³C NMR (125 MHz, CDCl₃) δ 172.8, 162.5, 145.6, 135.0, 132.5, 129.0, 128.80, 128.77, 128.74, 128.68, 128.66, 128.3, 128.1, 128.0, 126.6, 33.1, 24.1, 23.3, 22.8, 8.5, 6.7. HRMS (ESI, m/z) calculated for C₁₉H₁₈NO₃⁺: 308.1287, found: 308.1286, calculated for C₁₉H₁₇NO₃Na⁺: 330.1107, found: 330.1107



N-cyclopropyl-3-(4,5-diphenyloxazol-2-yl)propanamide (1y). Yield: 32% (213.5 mg) White solid. Rotamer. ¹H NMR (500 MHz, CDCl₃) δ 7.68 – 7.55 (m, 4H), 7.43 – 7.32 (m, 6H), 6.28 (s, 1H), 3.21 (t, *J* = 7.2 Hz, 2H), 2.79 – 2.70 (m, 3H), 0.78 (td, *J* = 7.0, 5.3 Hz, 2H), 0.54 – 0.47 (m, 2H). ¹³C NMR (125 MHz, CDCl₃) δ 172.8, 162.5, 145.6, 135.0, 132.5, 129.0, 128.80, 128.77, 128.74, 128.68, 128.66, 128.3, 128.1, 128.0, 126.6, 33.1, 24.1, 23.3, 22.8, 8.5, 6.7. HRMS (ESI, m/z) calculated for C₂₁H₂₁N₂O₂⁺: 333.1603, found: 333.1604, calculated for C₂₁H₂₀N₂O₂Na⁺: 355.1422, found: 355.1421



N-cyclopropyl-4-(N,N-dipropylsulfamoyl)benzamide (1z). Yield : 89% (719.8 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 7.82 (d, J = 0.9 Hz, 4H), 6.36 (s, 1H), 3.29 – 2.86 (m, 4H), 2.92 (dq, J = 7.1, 3.5 Hz, 1H), 1.58 – 1.44 (m, 4H), 0.96 – 0.78 (m, 8H), 0.72 – 0.59 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.7, 143.0, 138.1, 127.7, 127.4, 50.0, 23.5, 22.0, 11.3, 6.9. HRMS (ESI, m/z) calculated for $\text{C}_{16}\text{H}_{25}\text{N}_2\text{O}_3\text{S}^+$: 325.1586, found : 325.1586, calculated for $\text{C}_{16}\text{H}_{24}\text{N}_2\text{O}_3\text{NaS}^+$: 347.1405, found : 347.1407.



Scheme S2. Preparation of N-N pyridinium salt

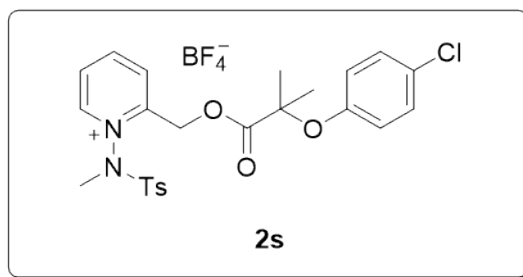
Synthesis of N-N pyridinium ylides

MSH was synthesized according to a reported literature.^{S1}

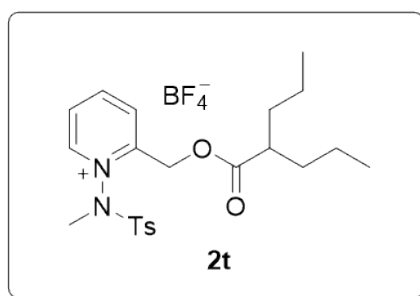
N-N pyridinium salts were prepared through a modified version of a reported protocol.¹ To a solution of pyridine (1.0 equiv) in dichloromethane (DCM, 0.5M) was added O-mesitylsulfonylhydroxylamine (MSH, 1.0 equiv) dropwise at 0 °C. After addition, slowly warm up to rt and react for 3-16 h. This aminopyridinium salt can be isolated by column chromatography (MC & MeOH for eluent) or directly used without purification. To a solution of 1-aminopyridinium (1.0 equiv) in DCM (0.5 M) was added triethylamine (TEA, 2.0 equiv) dropwise at 0 °C and react for 15 min. Add tosyl chloride (1.1 equiv), the mixture was slowly warm up to rt and stirred for 3-16 h. After the reaction finished, add saturated NaHCO_3 solution and extracted with DCM 3 times. The combined organic extracts were washed sequentially with saturated NaHCO_3 , brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by recrystallization (DCM & Hexane) or flash column chromatography (DCM & MeOH), affording the desired N-N pyridinium ylides.

Synthesis of N-aminopyridinium salts¹

To a solution of N-N pyridinium ylides (1 equiv) in DCM (0.5~1.0 M), add trimethyloxonium tetrafluoroborate (1.1 equiv) at 0 °C. The mixture slowly warm up to rt and reaction for 1 h. The resulting mixture was concentrated under reduced pressure. The residue was purified by recrystallization (DCM & Et₂O) or flash column chromatography (DCM & MeOH), affording the desired N-N pyridinium salts.

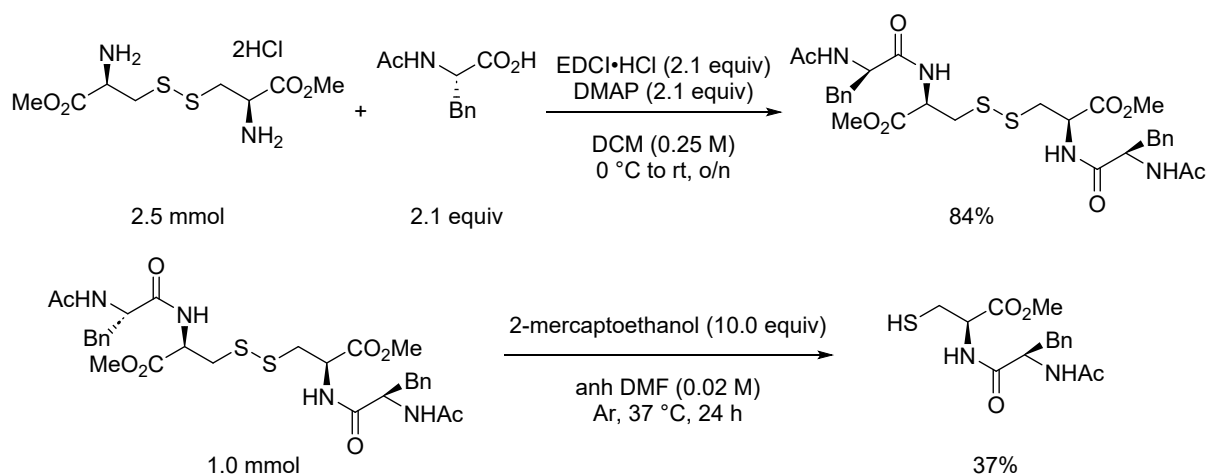


2-(((2-(4-chlorophenoxy)-2-methylpropanoyl)oxy)methyl)-1-((N,4-dimethylphenyl)sulfonamido)pyridin-1-ium tetrafluoroborate (2s). Yield : 45% (187.3 mg). White solid. ^1H NMR (400 MHz, $\text{C}_3\text{D}_6\text{O}$) δ 8.95 (td, $J = 8.0, 1.4$ Hz, 1H), 8.73 (dd, $J = 6.4, 1.5$ Hz, 1H), 8.41 (dd, $J = 8.2, 1.8$ Hz, 1H), 8.34 – 8.16 (m, 1H), 7.84 (d, $J = 8.4$ Hz, 2H), 7.66 (d, $J = 8.1$ Hz, 2H), 7.37 – 7.22 (m, 2H), 7.18 – 6.90 (m, 2H), 6.28 – 5.70 (m, 2H), 3.73 (s, 3H), 2.55 (s, 3H), 1.72 (d, $J = 4.1$ Hz, 6H). ^{13}C NMR (100 MHz, $\text{C}_3\text{D}_6\text{O}$) δ 206.3, 173.2, 157.5, 155.0, 150.7, 149.2, 145.2, 132.1, 130.6, 130.1, 129.8, 129.2, 129.0, 128.0, 122.2, 80.5, 62.3, 40.6, 25.6, 21.8. ^{19}F NMR (376 MHz, $\text{C}_3\text{D}_6\text{O}$) δ -151.46. HRMS (ESI, m/z) calculated for $\text{C}_{24}\text{H}_{26}\text{N}_2\text{O}_5\text{SCl}^+$: 489.1251, found : 489.1252.



1-((N,4-dimethylphenyl)sulfonamido)-2-(((2-propylpentanoyl)oxy)methyl)pyridin-1-ium tetrafluoroborate (2t). Yield : 39% (329.1 mg). White solid. ^1H NMR (400 MHz, $\text{C}_3\text{D}_6\text{O}$) δ 9.00 (t, $J = 7.9$ Hz, 1H), 8.77 (dt, $J = 5.6, 2.8$ Hz, 1H), 8.52 (d, $J = 8.2$ Hz, 1H), 8.29 (t, $J = 7.3$ Hz, 1H), 7.89 – 7.69 (m, 2H), 7.65 (d, $J = 8.1$ Hz, 2H), 5.89 (d, $J = 16.5$ Hz, 1H), 5.79 (d, $J = 16.5$ Hz, 1H), 3.75 (d, $J = 1.6$ Hz, 3H), 2.65 (tt, $J = 8.6, 5.5$ Hz, 1H), 2.54 (s, 3H), 1.78 – 1.61 (m, 2H), 1.55 (tt, $J = 13.0, 6.7, 3.0$ Hz, 2H), 1.35 (dh, $J = 9.8, 7.5$ Hz, 4H), 0.91 (td, $J = 7.3, 5.6$ Hz, 6H). ^{13}C NMR (100 MHz, $\text{C}_3\text{D}_6\text{O}$) δ 205.3, 174.7, 157.6, 149.9, 148.3, 144.4, 131.3, 129.7, 128.9, 128.4, 128.2, 60.4, 44.8, 39.8, 34.2, 20.9, 20.3, 20.3, 13.3. ^{19}F NMR (376 MHz, $\text{C}_3\text{D}_6\text{O}$) δ -151.65 (d, $J = 10.8$ Hz). HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{31}\text{N}_2\text{O}_4\text{S}^+$: 419.2005, found : 419.2005.

Synthesis of other materials

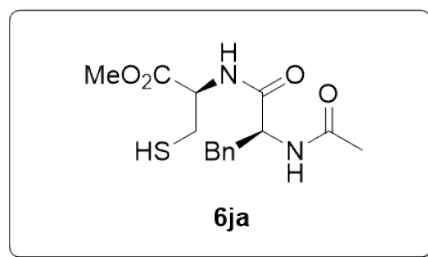


Scheme S3. Preparation of cysteine dipeptide (6ja)

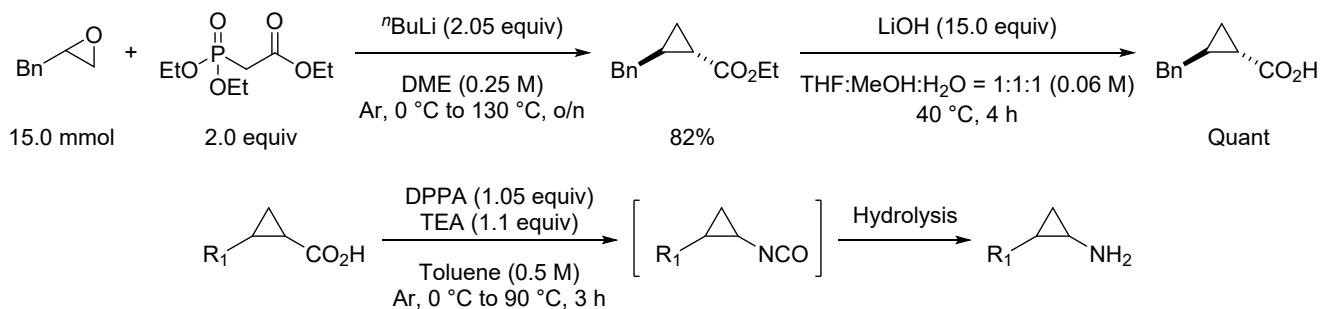
To a solution of N-Acetyl-L-Phe (2.1 equiv) in DCM (0.25 M), EDCI·HCl (2.1 equiv) and DMAP (2.1 equiv) were added at at 0 °C. After 15 min, L-cystine dihydrochloride (1.0 equiv) was added and slowly warm up to rt. After reaction finished, reaction mixture extracts with DCM for 3 times and washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by flash column chromatography (DCM : MeOH = 3%), affording the desired L-phenylalanine-L-cysteinate.

Add anhydrous DMF (50 mL) to a L-phenylalanine-L-cysteinate under Ar atmosphere. To a solution of cysteinate, 2-

mercaptoethanol (10.0 equiv) was added and heated up to 37 °C. After reaction completed, add ice-cold water, reaction mixture extracts with EA for 3 times and washed with 5% LiCl solution, brine for each 2 times, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by flash column chromatography (EA : Hx = 2 : 1) and reverse-phase column chromatography (MeOH : water = 1 : 1), affording the desired N-acetyl-L-Phe-L-Cys-OMe (**6ja**).



methyl acetyl-L-phenylalanyl-L-cysteinate (6ja). Yield : 37% (241.2 mg). White solid. With rotamer. ¹H NMR (500 MHz, C₂D₆SO) δ 8.55 (d, *J* = 8.0 Hz, 0.4H), 8.49 (d, *J* = 7.8 Hz, 0.6H), 8.15 (t, *J* = 7.8 Hz, 1H), 7.26 (p, *J* = 2.7 Hz, 4H), 7.19 (dq, *J* = 8.6, 2.9 Hz, 1H), 4.66 – 4.52 (m, 1H), 4.47 (qd, *J* = 9.0, 8.3, 6.1 Hz, 1H), 3.65 (d, *J* = 5.8 Hz, 3H), 2.98 (td, *J* = 13.5, 4.9 Hz, 1H), 2.87 (ddd, *J* = 13.7, 8.7, 5.0 Hz, 0.6H), 2.83 – 2.65 (m, 2.4H), 2.55 (t, *J* = 8.5 Hz, 0.6H), 2.34 (t, *J* = 8.6 Hz, 0.4H), 1.76 (d, *J* = 4.6 Hz, 3H). ¹³C NMR (125 MHz, C₂D₆SO) δ 171.7, 171.5, 170.6, 170.5, 169.2, 169.1, 137.9, 137.8, 129.2, 129.1, 128.0, 126.3, 126.3, 54.5, 54.3, 53.7, 53.7, 52.1, 38.0, 37.5, 25.5, 25.2, 22.4. HRMS (ESI, *m/z*) calculated for C₁₅H₂₁N₂O₄S⁺ : 325.1222, found : 325.1221, calculated for C₁₅H₂₀N₂O₄NaS⁺ : 347.1041, found : 347.1040.

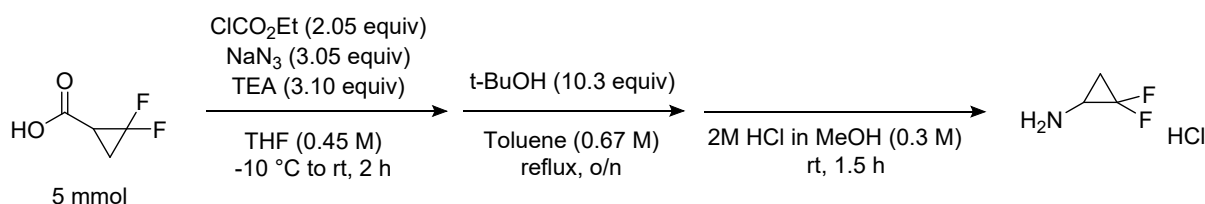


Scheme S4. Preparation of 2-substituted aminocyclopropane

To a solution of triethyl phosphonoacetate (2.0 equiv) in anhydrous DME (0.25 M), *n*-BuLi (2.05 equiv) were added dropwise at 0 °C. After 15 min, epoxycyclopropane (1.0 equiv) was added dropwise. The reaction mixture heated up to 130 °C for reflux, react for overnight. After reaction finished, the reaction mixture cooled to rt and quench with H₂O. Extracts with DCM for 3 times and washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. The residue was purified by flash column chromatography (Hx : EA = 5%), affording the trans-ethyl 2-benzylcyclopropane-1-carboxylate as product.

To a solution of carboxylate in MeOH : THF : H₂O = 1 : 1 : 1 (0.06 M), LiOH (15 equiv) was added in a portion and heated up to 40 °C. After reaction finished, evaporate MeOH and THF, acidify the crude with 1 N HCl. Extracts with DCM for 3 times and washed with brine, dried over sodium sulfate, filtered, and concentrated under reduced pressure. Resulting trans-2-benzylcyclopropane-1-carboxylic acid was used for next step without further purification.

To a solution of cyclopropane carboxylic acid (1.0 equiv) in anhydrous toluene (0.5 M), trimethylamine (1.1 equiv) and diphenylphosphoryl azide (1.05 equiv) were added dropwise at 0 °C. After 30 min, the reaction mixture heated up to 90 °C and react for 3 hours. After the reaction finished, purify resulting isocyanate with basic alumina short column and hydrolysis affording the desired cyclopropylamine after extraction.



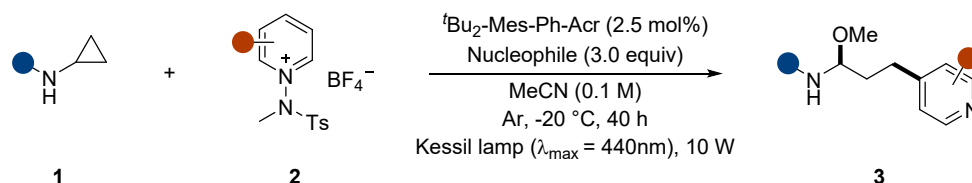
Scheme S5. Preparation of difluoro aminocyclopropane

To a solution of the corresponding acid (1.0 equiv) and Et₃N (3.10 equiv) in THF (0.45 M), ethyl chloroformate (2.05 equiv) was added dropwise at -10 °C. The reaction mixture was stirred at this temperature for 1 h, followed by the addition of NaN₃ (3.05

equiv) at $-10\text{ }^{\circ}\text{C}$. The mixture was stirred at rt for 2 h, poured into water, and extracted with EtOAc. The combined organic layers were washed with saturated NaHCO_3 , dried over anhydrous Na_2SO_4 , filtered, and concentrated to 2 mL. The residue was diluted toluene (5.6 mL), and *t*-BuOH (10.3 equiv) was added in one portion. The mixture was refluxed overnight and concentrated under reduced pressure. The crude product was dissolved in 2M HCl in MeOH (0.3 M) and stirred at rt for 1.5 h. Concentration under vacuum followed by recrystallization from Et_2O afforded the desired amine product as a solid.

III. General Procedures for Ring Opening and Further Transformation

General Procedure for Photocatalyzed Ring Opening of Aminocyclopropane



Scheme S6. Photocatalyzed ring opening of aminocyclopropane

To an oven-dried 8 mL test tubes, **1** (0.1 mmol, 1.0 equiv), **2** (0.15 mmol, 1.5 equiv), 9-Mesityl-3,6-di-*tert*-butyl-10-phenylacridinium tetrafluoroborate (0.0025 mmol, 2.5 mol%) were added. The test tubes were transferred to a glove box, and nucleophile (0.3 mmol, 3.0 equiv) was added by stock solution in dry MeCN (1.0 mL, 0.1 M). After brief stirring to ensure complete dissolution of the reagents, the test tubes were removed from the glove box. The reaction mixture was stirred under irradiation with 440 nm LEDs (10 W) at $-20\text{ }^{\circ}\text{C}$ under an argon atmosphere for 40 h. Upon completion, the reaction mixture was washed with saturated sodium bicarbonate and extract with EA. The organic phase was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. Purification was carried out by flash column chromatography on silica gel or preparative thin-layer chromatography (Hx & EA or Hx & Et_2O). As wrote in main text, some scope demand longer reaction time or room temperature.

Reaction Set-up

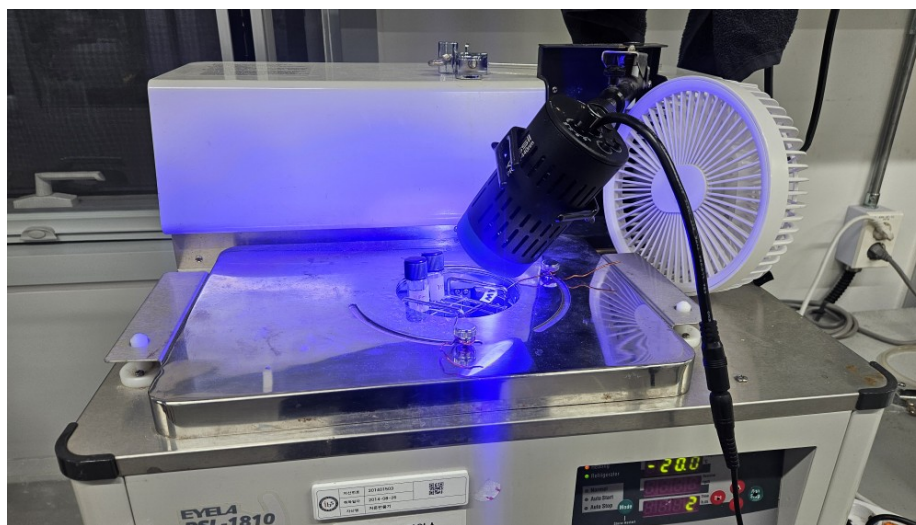
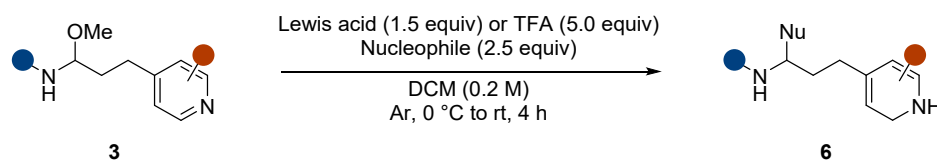


Figure S1. The reaction set up for photocatalyzed ring opening of aminocyclopropane

The Eyela PSL-1810 low-temperature reactor was used for the reaction. The reaction temperature was set to $-20\text{ }^{\circ}\text{C}$, and the stirring rpm was set to 450 to 600 rpm. A 440 nm Kessil lamp (PR160-440 nm & PR160L-440 nm) was used at 10 W intensity, and the distance between the light source and the test tube was approximately 12 cm. The fan cooling to the kessil lamp proceeded during the reaction.

General Procedure for Further Functionalization

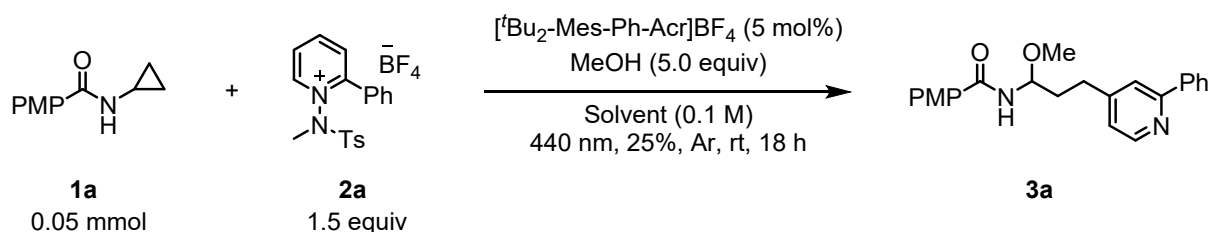


Scheme S7. Further functionalization of N-Acyl-N,O-Acetal-Linked Pyridines

To an oven-dried 8 mL test tubes, **3** (0.1 mmol, 1.0 equiv) were added in the glovebox. (Due to the hygroscopic property of **3**. If you add it in as stock, argon purging using Schlenk technic is also fine.) DCM (0.5 mL) and nucleophile (0.25 mmol, 2.5 equiv) were added at 0 °C. After brief stirring to ensure complete dissolution of the reagents, add the lewis acid (if necessary, 0.15 mmol, 1.5 equiv) or TFA (if necessary, 0.5 mmol, 5.0 equiv) to the reaction mixture and the slowly warm up to rt for 4 h. Upon completion, the reaction mixture was washed with saturated sodium bicarbonate and extract with EA. The organic phase was dried over anhydrous Na₂SO₄ and concentrated under reduced pressure. Purification was carried out by flash column chromatography on silica gel or preparative thin-layer chromatography (Hx & EA or DCM & MeOH).

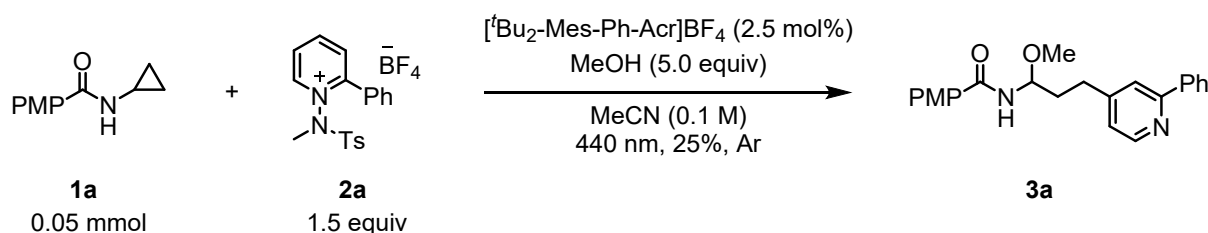
IV. Optimization Studies

Table S1. Effect of solvent



Entry	Solvent	Yield
1	MeCN	50%
2	DCE	Trace
3	THF	trace
4	EA	9%
5	DMSO	8%
6	Acetone	17%
7	MeOH	11%
8	Toluene	11%

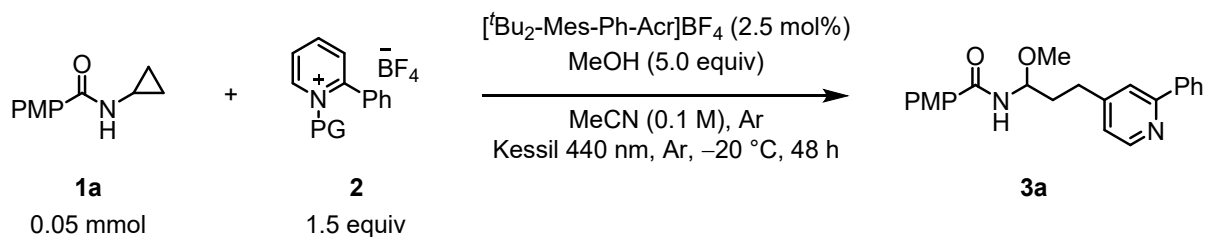
Table S2. Effect of reaction time & temperature



Entry	Temperature	Rxn Time	Yield
1	- 30 °C	18 h	12%
2	- 20 °C	18 h	34%

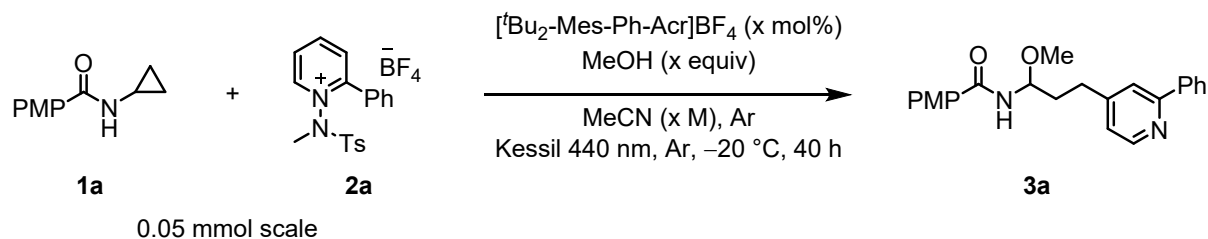
3	0 °C	18 h	57%
4	10 °C	18 h	68%
5	25 °C	18 h	65%
6	40 °C	18 h	58%
7	- 30 °C	43 h	85%
8	- 20 °C	48 h	83%
9	40 °C	40 h	53%

Table S3. Effect of protecting group of **2**



Entry	Protecting group	Yield
1		75%
2		75%
3		78%
4		68%
5		41%
6		40%
7		12%
8		31%

Table S4. Effect of equivalent and concentration

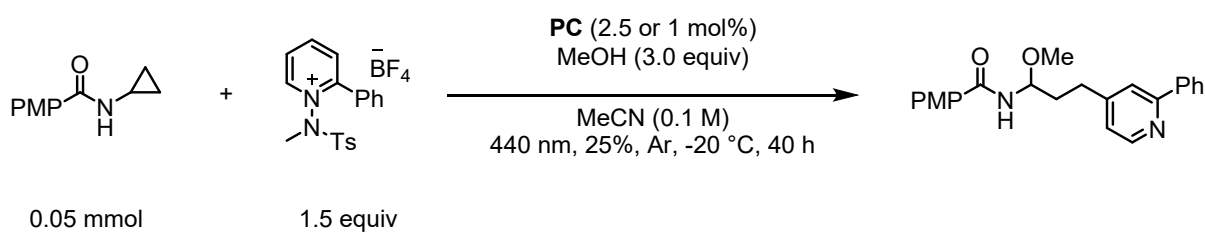


Entry	CP equiv	Salt equiv	MeOH equiv	BF ₄ mol%	Concentration	Yield
1	1.0	1.0	3.0	2.5	0.1	60%

2	1.0	1.2	3.0	2.5	0.1	69%
3	1.0	1.5	3.0	2.5	0.1	80%
4	1.5	1.0	3.0	2.5	0.1	61%
5	1.0	1.5	3.0	1.5	0.1	66%
6	1.0	1.5	3.0	4.0	0.1	75%
7	1.0	1.5	3.0	2.5	0.05	24%
8	1.0	1.5	3.0	2.5	0.2	73%
9 ^a	1.0	1.5	1.0	2.5	0.1	64%
10 ^a	1.0	1.5	2.0	2.5	0.1	68%
11 ^a	1.0	1.5	3.0	2.5	0.1	78%
12 ^a	1.0	1.5	5.0	2.5	0.1	78%

^aSO₂Ph Protecting group (**2b** in main text) used

Table S5. Effect of photocatalyst

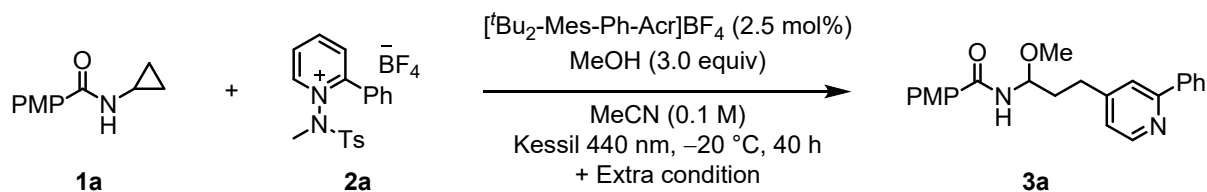


Entry	Photocatalyst	Yield
1	[3,6- ^t Bu ₂ -Mes-10-Ph-Acr]BF ₄	81%
2	[Mes-10-Me-Acr]BF ₄	69%
3	[2,7-Me ₂ -Mes-10-Ph-Acr]BF ₄	52%
4	[1,3,6,8-OMe ₄ -Mes-10-Ph-Acr]BF ₄	14%
5	[Mes-10-Ph-Acr]BF ₄	65%
6	[Ir{dF(CF ₃)ppy ₂ }dtbbpy]PF ₆	48%
7	[Ir{dF(CF ₃)ppy ₂ }bpy]PF ₆	49%
8	[Ir(ppy) ₂ dtbbpy]PF ₆	11%
9	Ir(ppy) ₃	Trace
10	Eosin Y	n.d.
11	4CzIPN	30%
12	TPPBF ₄	9%

2.5 mol% for organic photocatalyst and 1 mol% for metal photocatalyst.

V. Mechanistic Study

Control experiment (Light, Air, H₂O)



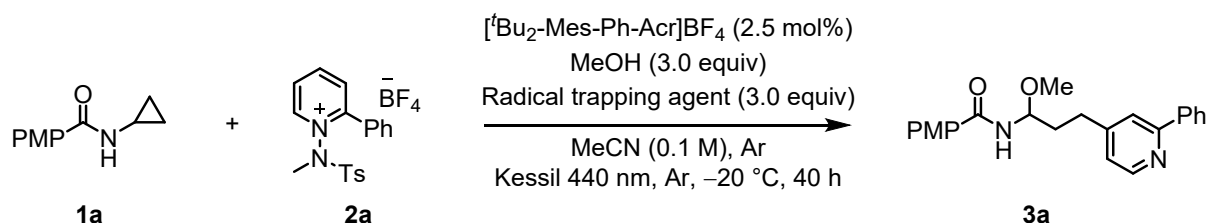
Scheme S8. Control experiments

To an oven-dried 8 mL test tubes, **1a** (0.1 mmol, 1.0 equiv), **2a** (0.15 mmol, 1.5 equiv), 9-Mesityl-3,6-di-tert-butyl-10-phenylacridinium tetrafluoroborate (0.0025 mmol, 2.5 mol%) were added (For entry 1, PC was not added). The test tubes were transferred to a glove box, and MeOH (0.3 mmol, 3.0 equiv) was added by stock solution in dry MeCN (1.0 mL, 0.1 M). After brief stirring to ensure complete dissolution of the reagents, the test tubes were removed from the glove box. For entry 3, the screw cap append and for entry 4, DW (1.0 mmol, 10 equiv) was added. The reaction mixture was stirred under irradiation with 440 nm LEDs (10 W) at $-20\text{ }^{\circ}\text{C}$ under an argon atmosphere for 40 h (Aluminum foil was used for entry 2). Upon completion, the reaction mixture was washed with saturated sodium bicarbonate and extract with EA. The organic phase was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude was analysis by $^1\text{H-NMR}$ with the 1,3,5-trimethoxybenzene as an internal standard.

Table S6. Result of Control experiment

Entry	Condition	Yield (%)
1	No PC	n.d.
2	No Light	n.d.
3	Air	11
4	10 equiv of H ₂ O	55

Radical trapping experiment (TEMPO, BHT, 1,1-diphenylethylene)



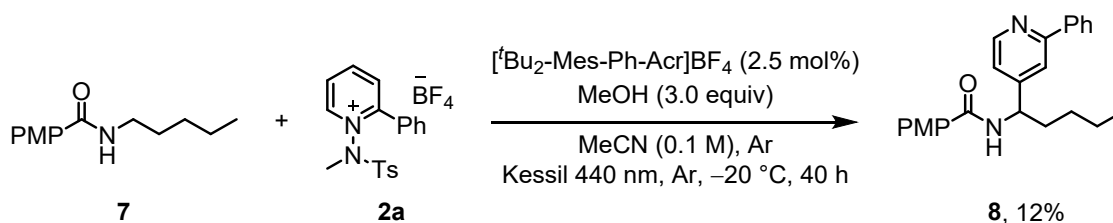
Scheme S9. Radical trapping experiments

To an oven-dried 8 mL test tubes, **1a** (0.1 mmol, 1.0 equiv), **2a** (0.15 mmol, 1.5 equiv), 9-Mesityl-3,6-di-tert-butyl-10-phenylacridinium tetrafluoroborate (0.0025 mmol, 2.5 mol%), radical trapping agent (3.0 equiv) were added. The test tubes were transferred to a glove box, and MeOH (0.3 mmol, 3.0 equiv) was added by stock solution in dry MeCN (1.0 mL, 0.1 M). After brief stirring to ensure complete dissolution of the reagents, the test tubes were removed from the glove box. The reaction mixture was stirred under irradiation with 440 nm LEDs (10 W) at $-20\text{ }^{\circ}\text{C}$ under an argon atmosphere for 40 h. Upon completion, the reaction mixture was washed with saturated sodium bicarbonate and extract with EA. The organic phase was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude was analysis by $^1\text{H-NMR}$ with the 1,3,5-trimethoxybenzene as an internal standard. The TEMPO adduct was detected by LC-MS.

Table S7. Result of radical trapping experiment

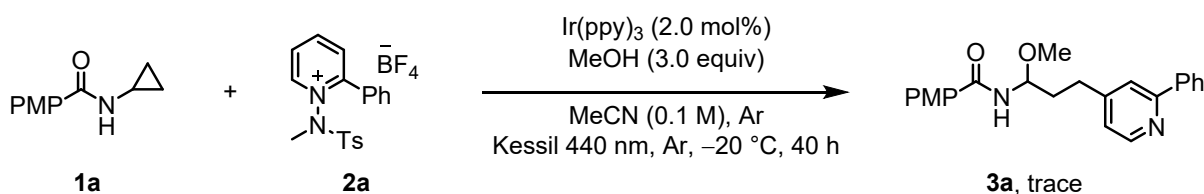
Entry	Radical trapping agent	Yield (%)
1	TEMPO	n.d.
2	Butylated hydroxytoluene	13
3	1,1-diphenylethylene	18

Amidyl radical intermediate investigation



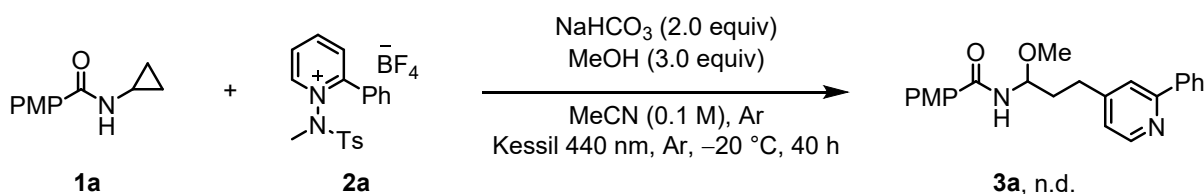
Scheme S10. Linear-alkyl substituted amide on standard reaction condition

To an oven-dried 8 mL test tubes, **7** (0.1 mmol, 1.0 equiv), **2a** (0.15 mmol, 1.5 equiv), 9-Mesityl-3,6-di-tert-butyl-10-phenylacridinium tetrafluoroborate (0.0025 mmol, 2.5 mol%) were added. The test tubes were transferred to a glove box, and MeOH (0.3 mmol, 3.0 equiv) was added by stock solution in dry MeCN (1.0 mL, 0.1 M). After brief stirring to ensure complete dissolution of the reagents, the test tubes were removed from the glove box. The reaction mixture was stirred under irradiation with 440 nm LEDs (10 W) at -20°C under an argon atmosphere for 40 h. Upon completion, the reaction mixture was washed with saturated sodium bicarbonate and extract with EA. The organic phase was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The yield was measured by ^1H NMR with 1,3,5-trimethoxybenzene as internal standard. Purification was carried out by flash column chromatography on silica (Hx & EA). The yield measured by the 4 series of experiments.



Scheme S11. Photocatalyzed ring opening reaction with Ir(ppy)_3

To an oven-dried 8 mL test tubes, **1a** (0.1 mmol, 1.0 equiv), **2a** (0.15 mmol, 1.5 equiv), Ir(ppy)_3 (0.002 mmol, 2.0 mol%) were added. The test tubes were transferred to a glove box, and MeOH (0.3 mmol, 3.0 equiv) was added by stock solution in dry MeCN (1.0 mL, 0.1M). After brief stirring to ensure complete dissolution of the reagents, the test tubes were removed from the glove box. The reaction mixture was stirred under irradiation with 440 nm LEDs (10 W) at -20°C under an argon atmosphere for 40 h. Upon completion, the reaction mixture was washed with saturated sodium bicarbonate and extract with EA. The organic phase was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The yield was measured by ^1H NMR with 1,3,5-trimethoxybenzene as internal standard.



Scheme S12. Photocatalyzed ring opening reaction using EDA complexation

To an oven-dried 8 mL test tubes, **1a** (0.1 mmol, 1.0 equiv), **2a** (0.15 mmol, 1.5 equiv), NaHCO_3 (0.2 mmol, 2.0 equiv) were added. The test tubes were transferred to a glove box, and MeOH (0.3 mmol, 3.0 equiv) was added by stock solution in dry MeCN (1.0 mL, 0.1M). After brief stirring to ensure complete dissolution of the reagents, the test tubes were removed from the glove box. The reaction mixture was stirred under irradiation with 440 nm LEDs (10 W) at -20°C under an argon atmosphere for 40 h. Upon completion, the reaction mixture was washed with saturated sodium bicarbonate and extract with EA. The organic phase was dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The yield was measured by ^1H NMR with 1,3,5-trimethoxybenzene as internal standard.

Stern-Volmer quenching experiment

Photocatalyst (4 mM): 9-Mesityl-3,6-di-tert-butyl-10-phenylacridinium tetrafluoroborate (45.88 mg, 0.08 mmol) in 20.0 mL MeCN.

1a (80 mM): **1a** (91.79 mg, 0.48 mmol) in 6.0 mL MeCN

2a (80 mM): **2a** (102.30 mg, 0.24 mmol) in 3.0 mL MeCN

With the above solutions, the samples for the analysis were prepared as following recipe:
MeCN (3.5-x mL) + PC stock (0.5 mL) + substrate stock (x mL) = 4.0 mL

All solutions were excited at 417 nm and the fluorescence spectra was measured over the range of 400 – 700 nm, 600 nm/min among which the emission intensity was recorded at 512 nm.

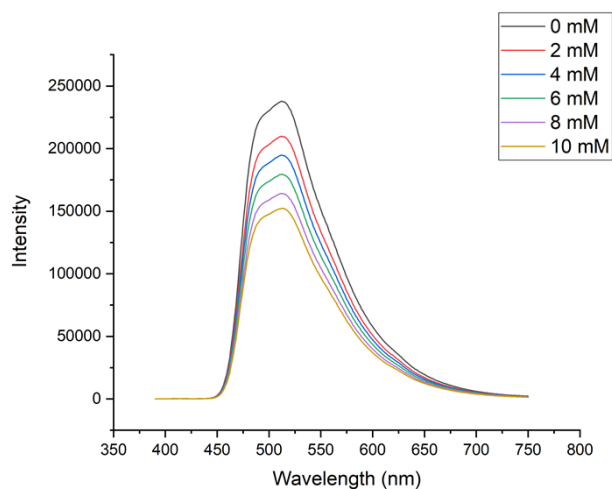


Figure S2. Quenching of the **Photocatalyst** emission in the presence of increasing amount of **1a**

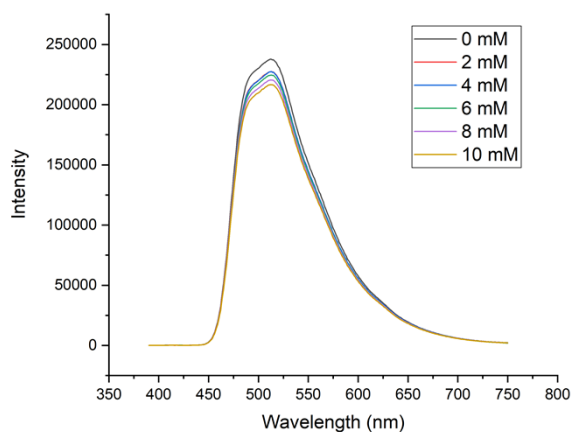


Figure S3. Quenching of the **Photocatalyst** emission in the presence of increasing amount of **2a**

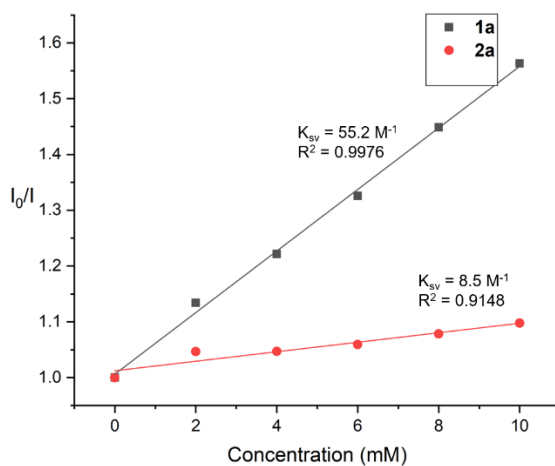
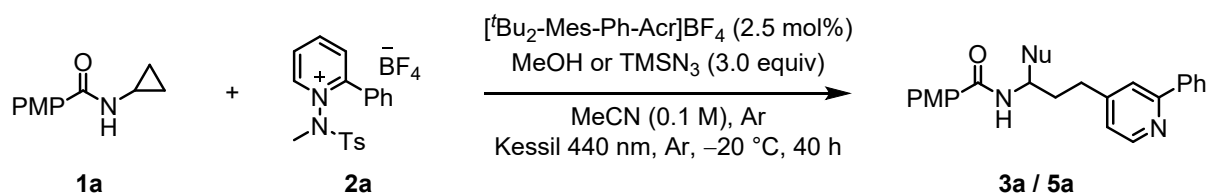


Figure S4. Stern-Volmer quenching plot with **1a**, **2a**

Large-scale reaction



Scheme S13. Large-scale reaction

To an oven-dried 250 mL rbf, **1a** (5.0 mmol or 3.0 mmol, 1.0 equiv), **2a** (1.5 equiv), 9-Mesityl-3,6-di-tert-butyl-10-phenylacridinium tetrafluoroborate (2.5 mol%) were added. The rbf were transferred to a glove box, and dry MeCN (1.0 mL, 0.1 M), MeOH or TMSN₃ (3.0 equiv) were added. After brief stirring to ensure complete dissolution of the reagents, the rbf were removed from the glove box. The reaction mixture was stirred under irradiation with 440 nm LEDs (10 W) at -20 °C under an argon atmosphere for 40 h. Upon completion, the reaction mixture was washed with saturated sodium bicarbonate and extract with DCM. The organic phase was dried over anhydrous Na₂SO₄ and concentrated under reduced pressure. Purification was carried out by flash column chromatography on silica gel (Hx & Et₂O).

Table S8. Result of large-scale reaction

Entry	Condition	Yield
1	MeOH, 5.0 mmol	61%
2	TMSN ₃ , 3.0 mmol	65%

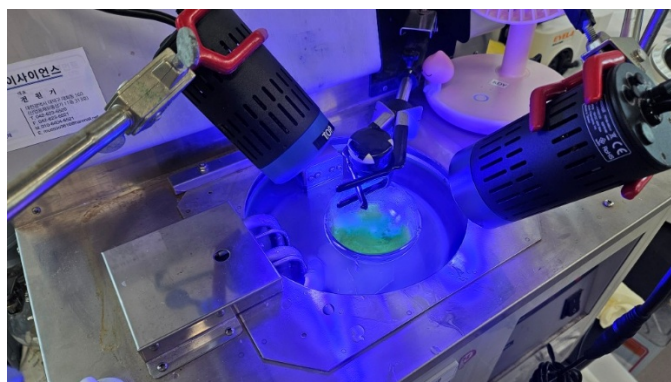


Figure S5. Reaction set-up of large-scale reaction

Quantum yield measurement

Determination of the photon flux of Kessil PR160-440 nm

The measurement was proceeded by using ferrioxalate actinometry following the literature procedures.^{S2, S3}

Solution I : 89 mg of potassium ferrioxalate trihydrate, 42 μL of H₂SO₄ dissolved in 10 mL of D.W in amber vial.

Solution II : 2.5 of sodium acetate, 0.5 mL of H₂SO₄ dissolved in 50 mL of D.W.

Solution III : 15 mg of 1,10-phenanthroline dissolved in 3 mL of **Solution II** in amber vial. (Prepare 4 **Solution III**)

To a 8 mL test tube equipped with a magnetic bar was added 1 mL of **Solution I**. The test tube was placed 5 cm from the light source and irradiated for 15, 30, and 60 s. Immediately, 100 μL of the solution was transferred to **Solution III** and DW was added to make 10 mL solution. Shake the vial enough to mix and keep in dark for 20 min. The solution was transferred to a quartz cuvette (1.0 cm path length) to measure the absorbance at 510 nm by using UV/Vis spectroscopy.

The number of moles of Fe²⁺ formed was calculated by using Beer's law:

$$mol\ of\ Fe^{2+} = \frac{V_1 V_3 \Delta Abs(510\ nm)}{V_2 l \epsilon(510\ nm)} \quad (\text{equation S1})$$

Where,

V_1 = The volume of irradiated ferrioxalate solution (1.0×10^{-3} L)

V_2 = The volume of transferred ferrioxalate solution to measure number of moles of Fe^{2+} (1.0×10^{-4} L)

V_3 = The volume final volume of the solution after complexation with 1,10-phenanthroline (1.0×10^{-2} L)

$\Delta Abs(510\ nm)$ = Difference of absorbance at 510 nm between the irradiated and non-irradiated solution.

l = Optical path-length of the cuvette (1 cm)

$\epsilon(510\ nm)$ = Molar absorptivity of the $Fe(phen)_3^{2+}$ complex at 510 nm ($11,110\ L\ mol^{-1}\ cm^{-1}$)

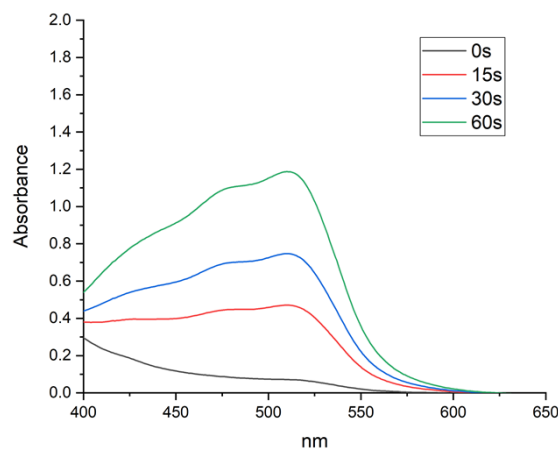


Figure S6. Absorption spectra of ferrioxalate and 1,10-phenanthroline solutions.

The photon flux was then calculated by using following equation

$$photon\ flux = \frac{mol\ Fe^{2+}}{\Phi t (1 - 10^{-Abs(\lambda)})} \quad (\text{equation S2})$$

Φ = Quantum yield of formation Fe^{2+} at 440 nm (1.11)^{S4}

t = Irradiation time

$Abs(\lambda)$ = The absorbance of the 0.018 M ferrioxalate solution at 440 nm in a path length 1 cm quartz cuvette by UV/Vis spectroscopy (0.648)

Overall, the calculated photon flux is 2.22×10^{-7} einstein/s

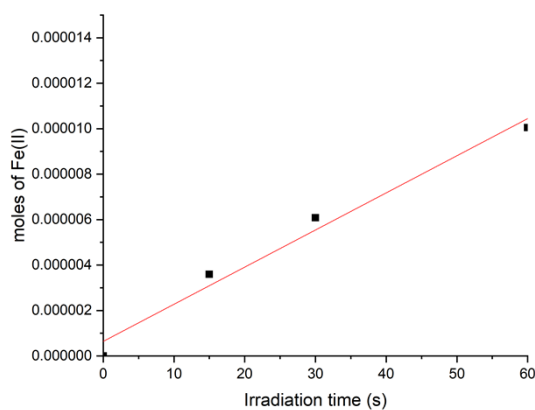


Figure S7. Moles of Fe(II) formed with different irradiation times.

Determination of the reaction quantum yield

To determine the reaction quantum yield, the cyclopropane ring opening reaction was conducted under the standard reaction setup for 15 min. The number of moles of the product was determined by ^1H NMR by using 1,3,5-trimethoxybenzene as an internal standard: 2.88×10^{-5} mol of **3a**. The reaction quantum yield was calculated by using following equation

$$\Phi = \frac{\text{moles of product}}{\text{photon flux} \times t(1 - 10^{-\text{Abs}(\lambda)})} \quad (\text{equation S3})$$

Where,

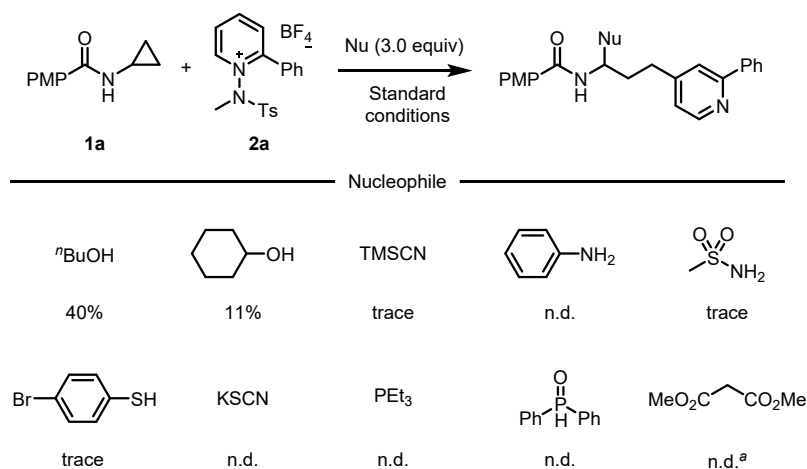
Photon flux = 2.22×10^{-7} einstein/s

t = Reaction time (900 s)

Abs(λ) = The absorbance of the reaction solution at 440 nm in a path length 1 cm quartz cuvette by UV/Vis spectroscopy (2.233)

$$\Phi = \frac{2.88 \times 10^{-5} \text{ mol}}{2.22 \times 10^{-7} \text{ s}^{-1} \times 900 \text{ s} \times (1 - 10^{-2.233})} = 0.145 \quad (\text{equation S4})$$

VI. Unsuccessful Result



^a2.0 equiv Nu, 2.5 equiv $^t\text{BuOK}$ used at room temperature

Figure S8. Other tried nucleophile

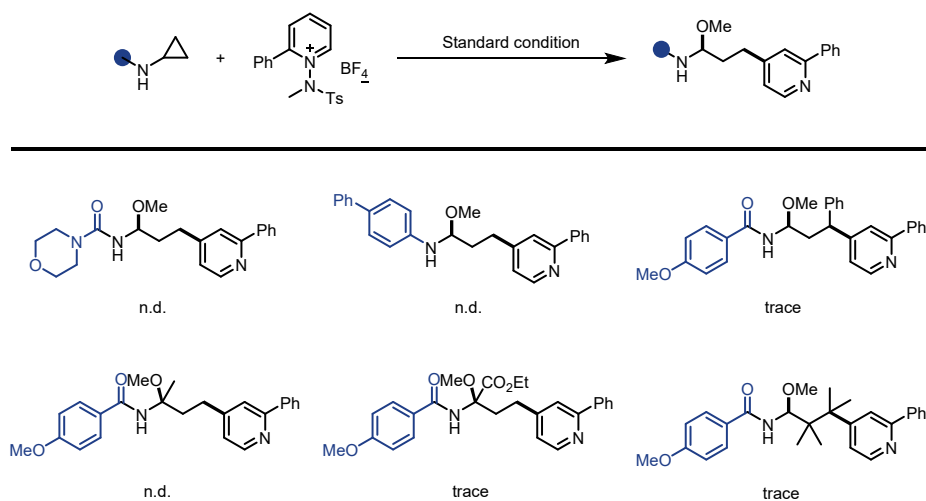
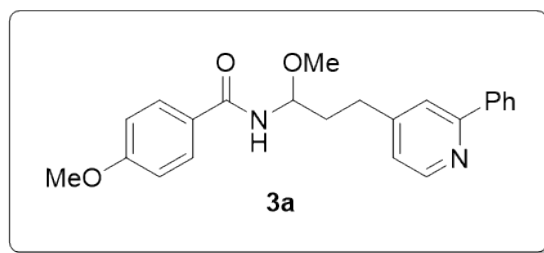


Figure S9. Failed type of cyclopropanes

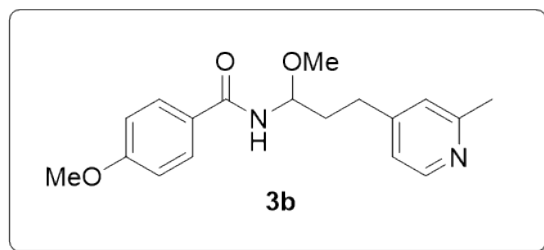
VII. References

- [1] Y. Moon, B. Park, I. Kim, G. Kang, S. Shin, D. Kang, M.-H. Baik, S. Hong, *Nat. Commun.*, 2019, **10**, 4117.
- [2] A. Tlahuext-Aca, R. A. Garza-Sanchez, M. Schäfer, F. Glorius, *Org. Lett.*, 2018, **20**, 1546-1549.
- [3] C. Kim, J. Jeong, M. Vellakkaran and S. Hong, *ACS Catal.*, 2022, **12**, 13225–13233.
- [4] M. Montalti, A. Credi, L. Prodi, M. T. Gandolfi, *Handbook of Photochemistry*; CRC press, Boca Raton, 2006.

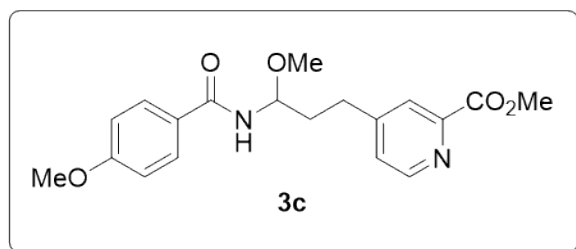
VIII. Compound Characterizations



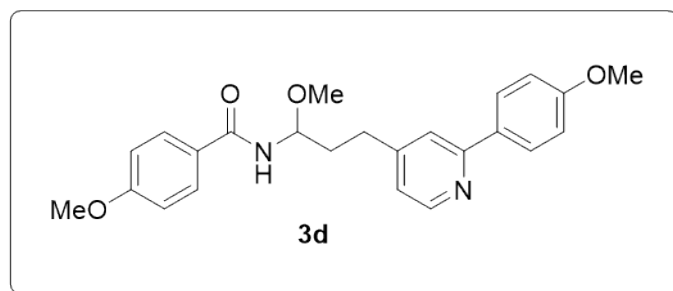
4-methoxy-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (3a). Yield : 80% (30.0 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 8.57 (d, J = 5.0 Hz, 1H), 7.95 (dd, J = 8.4, 1.5 Hz, 2H), 7.70 (d, J = 8.8 Hz, 2H), 7.45 (dd, J = 8.2, 6.5 Hz, 2H), 7.41 (s, 1H), 7.08 (dd, J = 5.1, 1.6 Hz, 1H), 6.98 – 6.87 (m, 2H), 6.24 (d, J = 9.6 Hz, 1H), 5.41 (dt, J = 9.6, 6.2 Hz, 1H), 3.83 (d, J = 1.5 Hz, 3H), 3.41 (d, J = 1.5 Hz, 3H), 2.83 (dddd, J = 39.6, 14.5, 9.3, 6.4 Hz, 2H), 2.26 – 1.94 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.0, 162.7, 157.8, 151.1, 149.9, 139.5, 129.0, 128.9, 128.8, 127.1, 125.9, 122.4, 120.9, 114.0, 81.3, 56.2, 55.6, 36.5, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}_3^+$: 377.1865, found : 377.1865



4-methoxy-N-(1-methoxy-3-(2-methylpyridin-4-yl)propyl)benzamide (3b). Yield : 78% (24.4 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 8.36 (d, J = 5.1 Hz, 1H), 8.01 – 7.60 (m, 2H), 6.98 (s, 1H), 6.92 (d, J = 9.1 Hz, 3H), 6.23 (d, J = 9.5 Hz, 1H), 5.35 (dt, J = 9.5, 6.2 Hz, 1H), 3.85 (s, 3H), 3.39 (s, 3H), 2.71 (dddd, J = 39.6, 14.5, 9.2, 6.3 Hz, 2H), 2.48 (s, 3H), 2.13 – 2.01 (m, 1H), 2.01 – 1.95 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.0, 162.7, 158.6, 150.7, 149.3, 128.9, 126.0, 123.5, 121.0, 114.0, 81.2, 56.1, 55.6, 36.4, 30.7, 24.4. HRMS (ESI, m/z) calculated for $\text{C}_{18}\text{H}_{23}\text{N}_2\text{O}_3^+$: 315.1709, found : 315.1710, calculated for $\text{C}_{18}\text{H}_{22}\text{N}_2\text{O}_3\text{Na}^+$: 337.1528, found : 337.1528.

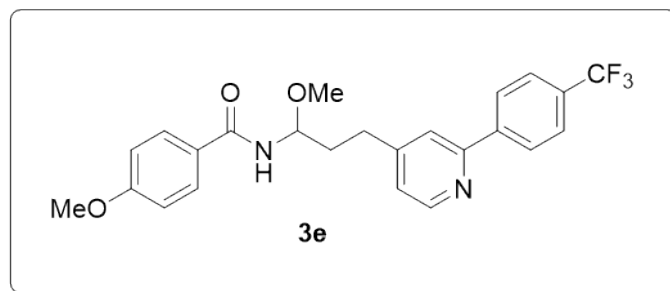


methyl 4-(3-methoxy-3-(4-methoxybenzamido)propyl)picolinate (3c). Yield : 58% (20.6 mg). Yellow solid. ^1H NMR (400 MHz, CDCl_3) δ 8.61 (d, J = 4.9 Hz, 1H), 7.99 (d, J = 1.8 Hz, 1H), 7.86 – 7.69 (m, 2H), 7.32 (d, J = 1.9 Hz, 1H), 7.07 – 6.72 (m, 2H), 6.22 (d, J = 9.5 Hz, 1H), 5.63 – 5.23 (m, 1H), 3.99 (s, 3H), 3.85 (s, 3H), 3.39 (s, 3H), 3.01 – 2.68 (m, 2H), 2.36 – 1.92 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.0, 166.0, 162.8, 151.9, 150.0, 148.1, 129.0, 127.2, 125.9, 125.4, 114.0, 81.1, 56.2, 55.6, 53.0, 36.3, 30.8. HRMS (ESI, m/z) calculated for $\text{C}_{19}\text{H}_{23}\text{N}_2\text{O}_5^+$: 359.1607, found : 359.1609, calculated for $\text{C}_{19}\text{H}_{22}\text{N}_2\text{O}_5\text{Na}^+$: 381.1426, found : 381.1428.

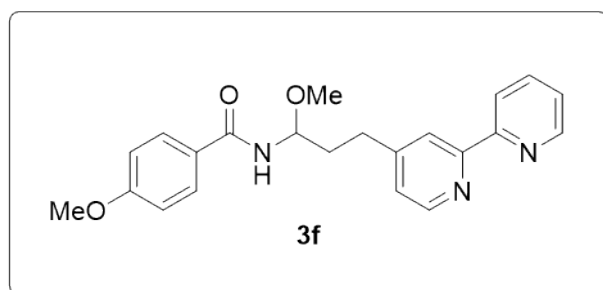


4-methoxy-N-(1-methoxy-3-(2-(4-methoxyphenyl)pyridin-4-yl)propyl)benzamide (3d). Yield : 75% (30.2 mg). Yellow solid. ^1H NMR (500 MHz, CDCl_3) δ 8.53 (d, J = 5.0 Hz, 1H), 8.00 – 7.77 (m, 2H), 7.76 – 7.58 (m, 2H), 7.50 (d, J = 1.6 Hz, 1H), 7.03 (dd, J = 5.1, 1.6 Hz, 1H), 6.97 (d, J = 8.9 Hz, 2H), 6.91 (d, J = 8.8 Hz, 2H), 6.20 (d, J = 9.1 Hz, 1H), 5.41 (dt, J = 9.6, 6.2 Hz, 1H),

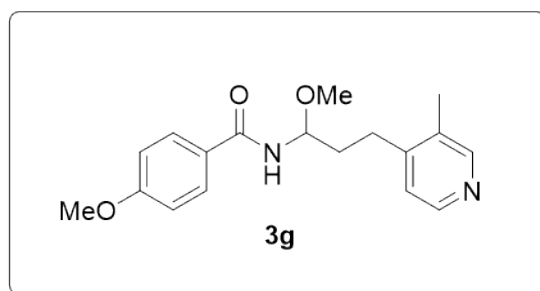
3.86 (s, 3H), 3.84 (s, 3H), 3.41 (s, 3H), 2.86 (ddd, $J = 15.2, 9.5, 6.2$ Hz, 1H), 2.76 (ddd, $J = 14.1, 9.3, 6.4$ Hz, 1H), 2.19 – 1.96 (m, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 167.0, 162.7, 160.5, 157.5, 151.0, 149.7, 132.1, 128.9, 128.4, 125.9, 121.8, 120.2, 114.2, 114.0, 81.3, 56.2, 55.6, 55.5, 36.5, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{24}\text{H}_{27}\text{N}_2\text{O}_4^+$: 407.1971, found : 407.1970



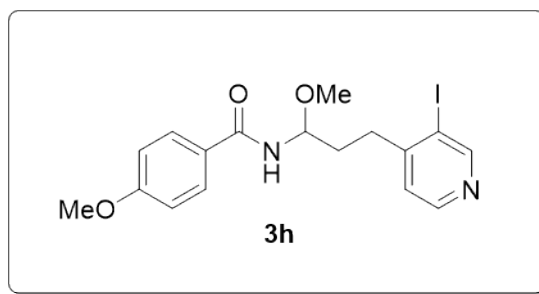
4-methoxy-N-(1-methoxy-3-(2-(4-(trifluoromethyl)phenyl)pyridin-4-yl)propyl)benzamide (3e). Yield : 87% (38.6 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 8.59 (d, $J = 5.0$ Hz, 1H), 8.06 (d, $J = 8.1$ Hz, 2H), 7.70 (t, $J = 8.5$ Hz, 4H), 7.58 (d, $J = 1.6$ Hz, 1H), 7.13 (dd, $J = 5.0, 1.6$ Hz, 1H), 6.90 (d, $J = 8.8$ Hz, 2H), 6.31 (d, $J = 9.6$ Hz, 1H), 5.40 (dt, $J = 9.6, 6.3$ Hz, 1H), 3.83 (s, 3H), 3.40 (s, 3H), 2.88 (ddd, $J = 15.1, 9.2, 6.3$ Hz, 1H), 2.79 (ddd, $J = 14.5, 8.9, 6.6$ Hz, 1H), 2.14 (ddt, $J = 13.9, 9.7, 6.8$ Hz, 1H), 2.09 – 1.96 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.0, 162.8, 156.2, 151.5, 150.0, 142.8, 130.8 (q, $J = 32.4$ Hz), 129.0, 127.4, 125.8, 125.7 (q, $J = 3.8$ Hz), 123.2, 123.0, 121.3, 114.0, 81.2, 56.1, 55.5, 36.4, 31.0. ^{19}F NMR (376 MHz, CDCl_3) δ -62.53. HRMS (ESI, m/z) calculated for $\text{C}_{24}\text{H}_{24}\text{N}_2\text{O}_3\text{F}_3^+$: 445.1739, found : 445.1738



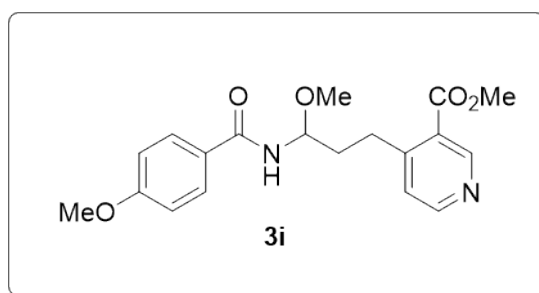
N-(3-([2,2'-bipyridin]-4-yl)-1-methoxypropyl)-4-methoxybenzamide (3f). Yield : 52% (19.5 mg). Yellow solid. ^1H NMR (400 MHz, CDCl_3) δ 8.75 – 8.59 (m, 1H), 8.55 (d, $J = 5.0$ Hz, 1H), 8.37 (d, $J = 8.0$ Hz, 1H), 8.26 (d, $J = 1.8$ Hz, 1H), 7.81 (td, $J = 7.7, 1.8$ Hz, 1H), 7.70 (d, $J = 8.8$ Hz, 2H), 7.30 (ddd, $J = 7.5, 4.8, 1.2$ Hz, 1H), 7.16 (dd, $J = 5.1, 1.8$ Hz, 1H), 6.90 (d, $J = 8.8$ Hz, 2H), 6.23 (d, $J = 9.6$ Hz, 1H), 5.39 (dt, $J = 9.5, 6.3$ Hz, 1H), 3.84 (s, 3H), 3.41 (s, 3H), 2.86 (dddd, $J = 37.6, 14.5, 9.4, 6.4$ Hz, 2H), 2.12 (dddt, $J = 26.1, 15.6, 13.5, 6.4$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.0, 162.7, 156.3, 156.3, 151.5, 149.5, 149.2, 137.1, 129.0, 126.0, 124.1, 123.9, 121.4, 121.2, 114.0, 81.3, 56.2, 55.6, 36.4, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{24}\text{N}_3\text{O}_3^+$: 378.1818, found : 378.1818, calculated for $\text{C}_{22}\text{H}_{24}\text{N}_3\text{O}_3\text{Na}^+$: 400.1637, found : 400.1635



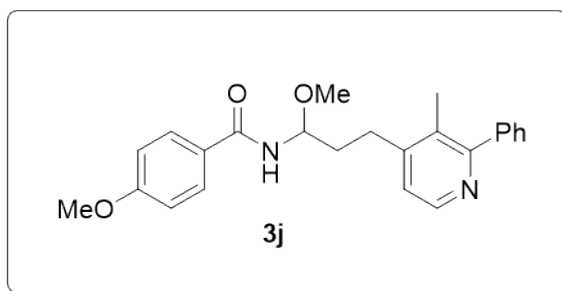
4-methoxy-N-(1-methoxy-3-(3-methylpyridin-4-yl)propyl)benzamide (3g). Yield : 66% (20.5 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 8.32 (s, 2H), 8.05 – 7.49 (m, 2H), 7.06 (d, $J = 5.0$ Hz, 1H), 6.93 (d, $J = 8.9$ Hz, 2H), 6.30 (d, $J = 9.5$ Hz, 1H), 5.54 – 5.17 (m, 1H), 3.85 (s, 3H), 3.41 (s, 3H), 2.74 (dddd, $J = 39.1, 14.7, 9.7, 6.2$ Hz, 2H), 2.27 (s, 3H), 1.98 (ddtd, $J = 19.6, 15.7, 13.6, 6.2$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.1, 162.7, 150.8, 148.5, 147.7, 131.8, 129.0, 126.0, 123.5, 114.0, 81.3, 56.2, 55.6, 35.3, 28.0, 16.2. HRMS (ESI, m/z) calculated for $\text{C}_{18}\text{H}_{23}\text{N}_2\text{O}_3^+$: 315.1709, found : 315.1709



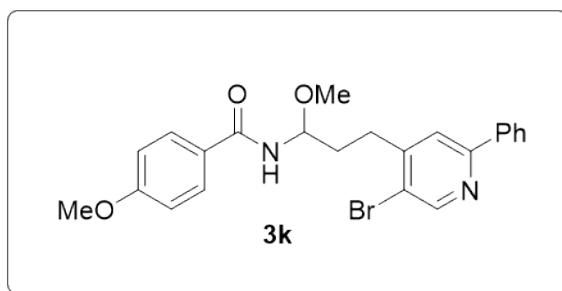
N-(3-(3-iodopyridin-4-yl)-1-methoxypropyl)-4-methoxybenzamide (3h). Yield : 50% (21.3 mg). Yellow solid. ^1H NMR (400 MHz, CDCl_3) δ 8.89 (s, 1H), 8.43 (d, $J = 4.9$ Hz, 1H), 7.78 (d, $J = 8.4$ Hz, 2H), 7.22 (d, $J = 4.9$ Hz, 1H), 6.97 (d, $J = 8.6$ Hz, 2H), 6.26 (d, $J = 9.5$ Hz, 1H), 5.43 (dt, $J = 9.8, 6.3$ Hz, 1H), 3.89 (s, 3H), 3.44 (s, 3H), 3.02 – 2.59 (m, 2H), 2.03 (dddd, $J = 23.5, 19.9, 13.9, 6.3$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.1, 162.8, 157.7, 152.8, 149.2, 129.0, 126.0, 125.1, 114.1, 100.1, 81.0, 56.2, 55.6, 35.7, 35.2. HRMS (ESI, m/z) calculated for $\text{C}_{17}\text{H}_{20}\text{N}_2\text{O}_3\text{I}^+$: 427.0519 , found : 427.0518, calculated for $\text{C}_{17}\text{H}_{19}\text{N}_2\text{O}_3\text{NaI}^+$: 449.0338 , found : 449.0337.



methyl 4-(3-methoxy-3-(4-methoxybenzamido)propyl)nicotinate (3i). Yield : 51% (18.3 mg). Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 9.10 (s, 1H), 8.60 (d, $J = 5.1$ Hz, 1H), 8.13 – 7.75 (m, 2H), 7.22 (d, $J = 5.1$ Hz, 1H), 7.06 – 6.90 (m, 2H), 6.80 (d, $J = 9.2$ Hz, 1H), 5.40 (dt, $J = 9.2, 6.1$ Hz, 1H), 3.93 (s, 3H), 3.86 (s, 3H), 3.42 (s, 3H), 3.15 (ddd, $J = 12.6, 9.1, 6.8$ Hz, 1H), 3.01 (ddd, $J = 12.7, 9.3, 6.8$ Hz, 1H), 2.04 (qd, $J = 6.6, 2.9$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.3, 166.5, 162.7, 153.0, 152.7, 152.2, 129.2, 126.1, 125.9, 125.1, 113.9, 81.0, 56.1, 55.6, 52.4, 36.3, 29.6. HRMS (ESI, m/z) calculated for $\text{C}_{19}\text{H}_{23}\text{N}_2\text{O}_5^+$: 359.1607 , found : 359.1608, calculated for $\text{C}_{19}\text{H}_{22}\text{N}_2\text{O}_5\text{Na}^+$: 381.1426, found : 381.1427.

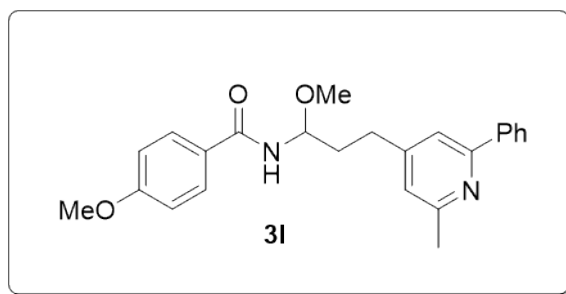


4-methoxy-N-(1-methoxy-3-(3-methyl-2-phenylpyridin-4-yl)propyl)benzamide (3j). Yield : 79% (30.7 mg). White solid. ^1H NMR (500 MHz, CDCl_3) δ 8.41 (t, $J = 3.6$ Hz, 1H), 7.80 – 7.65 (m, 2H), 7.41 (q, $J = 2.2, 1.8$ Hz, 4H), 7.39 – 7.31 (m, 1H), 7.08 (t, $J = 3.9$ Hz, 1H), 6.99 – 6.77 (m, 3H), 6.37 (s, 1H), 5.43 (dt, $J = 9.0, 6.0$ Hz, 1H), 3.84 (d, $J = 3.1$ Hz, 3H), 3.42 (d, $J = 2.4$ Hz, 3H), 2.96 – 2.83 (m, 1H), 2.78 (tq, $J = 12.0, 3.9, 3.5$ Hz, 1H), 2.25 (d, $J = 2.8$ Hz, 3H), 2.08 (ddt, $J = 12.8, 9.5, 6.3$ Hz, 1H), 1.99 (ddq, $J = 13.1, 10.9, 3.3$ Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 167.1, 162.7, 159.5, 149.7, 146.7, 141.2, 129.4, 129.2, 129.0, 128.2, 127.9, 126.0, 122.6, 114.0, 81.4, 56.2, 55.6, 35.4, 28.7, 16.0. HRMS (ESI, m/z) calculated for $\text{C}_{24}\text{H}_{27}\text{N}_2\text{O}_3^+$: 391.2022 , found : 391.2023

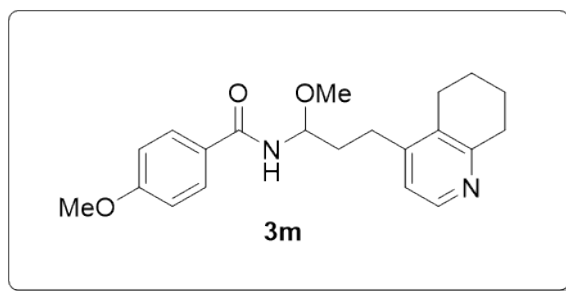


N-(3-(5-bromo-2-phenylpyridin-4-yl)-1-methoxypropyl)-4-methoxybenzamide (3k). Yield : 42% (19.1 mg). White solid. ^1H

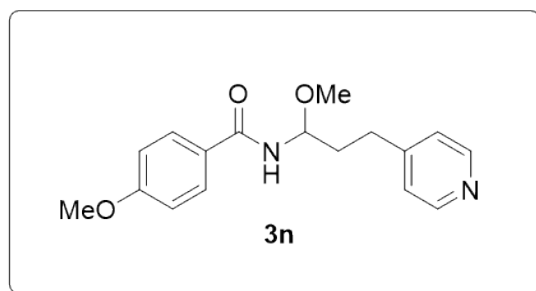
NMR (400 MHz, CDCl₃) δ 8.63 (s, 1H), 8.02 – 7.81 (m, 2H), 7.68 (d, J = 8.8 Hz, 2H), 7.54 (s, 1H), 7.45 – 7.27 (m, 3H), 7.02 – 6.51 (m, 2H), 6.18 (d, J = 9.5 Hz, 1H), 5.37 (dt, J = 9.5, 6.3 Hz, 1H), 3.78 (s, 3H), 3.36 (s, 3H), 2.86 (qdd, J = 13.8, 9.3, 6.5 Hz, 2H), 2.32 – 1.83 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 167.1, 162.8, 156.7, 151.8, 149.9, 138.4, 129.4, 128.98, 128.95, 127.0, 125.9, 122.3, 121.6, 114.1, 81.2, 56.2, 55.6, 35.0, 31.5. HRMS (ESI, m/z) calculated for C₂₃H₂₄N₂O₃Br⁺ : 455.0970 , found : 455.0970



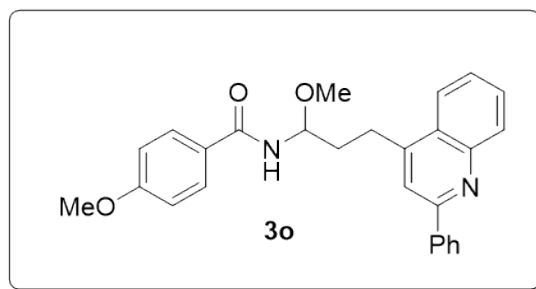
4-methoxy-N-(1-methoxy-3-(2-methyl-6-phenylpyridin-4-yl)propyl)benzamide (3l). Yield : 87% (33.8 mg). White solid. ¹H NMR (400 MHz, CDCl₃) δ 7.97 – 7.88 (m, 2H), 7.76 – 7.64 (m, 2H), 7.49 – 7.40 (m, 2H), 7.40 – 7.36 (m, 1H), 7.36 – 7.33 (m, 1H), 6.93 (d, J = 1.4 Hz, 1H), 6.92 – 6.87 (m, 2H), 6.29 (d, J = 9.6 Hz, 1H), 5.40 (dt, J = 9.6, 6.2 Hz, 1H), 3.83 (s, 3H), 3.40 (s, 3H), 2.82 (ddd, J = 14.0, 9.4, 6.2 Hz, 1H), 2.72 (ddd, J = 14.0, 9.2, 6.5 Hz, 1H), 2.56 (s, 3H), 2.18 – 2.07 (m, 1H), 2.07 – 1.96 (m, 1H). δ 167.0, 162.7, 158.6, 157.4, 151.2, 139.8, 128.9, 128.8, 128.7, 127.2, 125.9, 121.9, 118.1, 114.0, 81.3, 56.1, 55.5, 36.4, 30.8, 24.7. HRMS (ESI, m/z) calculated for C₂₄H₂₇N₂O₃⁺ : 391.2022 , found : 391.2023.



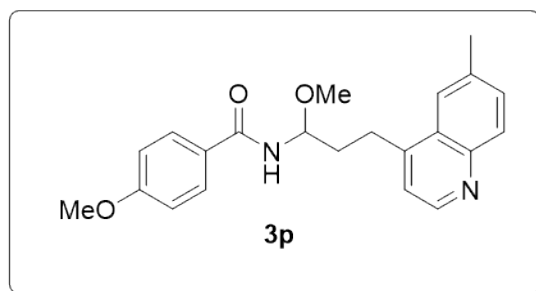
4-methoxy-N-(1-methoxy-3-(5,6,7,8-tetrahydroquinolin-4-yl)propyl)benzamide (3m). Yield : 86% (30.5 mg). White solid. ¹H NMR (400 MHz, CDCl₃) δ 8.24 (d, J = 4.9 Hz, 1H), 8.00 – 7.54 (m, 2H), 6.90 (dd, J = 9.1, 6.8 Hz, 3H), 6.31 (d, J = 9.6 Hz, 1H), 5.39 (dt, J = 9.5, 6.2 Hz, 1H), 3.84 (s, 3H), 3.40 (s, 3H), 2.88 (d, J = 6.4 Hz, 2H), 2.78 – 2.53 (m, 4H), 2.06 – 1.89 (m, 2H), 1.80 (q, J = 6.3 Hz, 4H). ¹³C NMR (100 MHz, CDCl₃) δ 167.0, 162.7, 157.4, 148.6, 146.6, 130.7, 129.0, 126.0, 121.2, 114.0, 81.4, 56.1, 55.6, 35.2, 33.2, 27.4, 25.5, 22.9, 22.8. HRMS (ESI, m/z) calculated for C₂₁H₂₇N₂O₃⁺ : 355.2022 , found : 355.2022



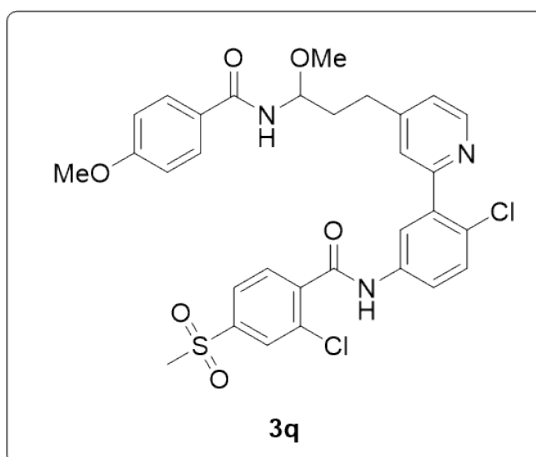
4-methoxy-N-(1-methoxy-3-(pyridin-4-yl)propyl)benzamide (3n). Yield : 52% (15.4 mg). Yellow solid. ¹H NMR (400 MHz, CDCl₃) δ 8.49 (d, J = 6.0 Hz, 1H), 7.71 (d, J = 8.9 Hz, 2H), 7.13 (d, J = 6.1 Hz, 1H), 6.93 (d, J = 8.9 Hz, 2H), 6.20 (d, J = 9.6 Hz, 1H), 5.36 (ddd, J = 9.6, 6.8, 5.7 Hz, 1H), 3.85 (s, 3H), 3.40 (s, 3H), 2.81 (ddd, J = 14.1, 9.5, 6.2 Hz, 1H), 2.72 (ddd, J = 14.3, 9.2, 6.6 Hz, 1H), 2.08 (ddt, J = 12.3, 8.4, 6.1 Hz, 1H). ¹³C NMR (125 MHz, CDCl₃) δ 166.9, 162.6, 150.5, 149.7, 128.8, 125.8, 123.9, 113.9, 81.1, 56.1, 55.5, 36.3, 30.7. HRMS (ESI, m/z) calculated for C₁₇H₂₁N₂O₃⁺ : 301.1552 , found : 301.1553, C₁₇H₂₀N₂O₃Na⁺ : 323.1372, found : 323.1371.



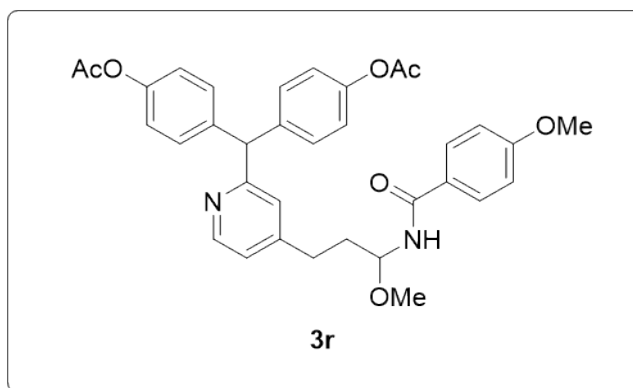
4-methoxy-N-(1-methoxy-3-(2-phenylquinolin-4-yl)propyl)benzamidebenzamide (3o). Yield : 78% (37.9 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 8.18 (dd, J = 8.5, 1.2 Hz, 1H), 8.15 – 8.07 (m, 1H), 8.02 (d, J = 8.3 Hz, 1H), 7.78 – 7.65 (m, 4H), 7.62 – 7.39 (m, 4H), 6.95 – 6.66 (m, 2H), 6.38 (dd, J = 10.0, 5.1 Hz, 1H), 5.51 (ddd, J = 9.6, 6.9, 5.4 Hz, 1H), 3.81 (d, J = 1.1 Hz, 3H), 3.44 (s, 3H), 3.34 (ddd, J = 15.3, 9.9, 5.9 Hz, 1H), 3.20 (ddd, J = 14.8, 9.8, 6.1 Hz, 1H), 2.34 – 2.20 (m, 1H), 2.20 – 2.04 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.1, 162.7, 157.2, 148.6, 147.9, 139.8, 130.6, 129.5, 129.3, 129.0, 128.9, 127.6, 126.4, 126.3, 125.9, 123.4, 118.9, 114.0, 81.5, 56.2, 55.5, 36.2, 28.1. HRMS (ESI, m/z) calculated for $\text{C}_{27}\text{H}_{27}\text{N}_2\text{O}_3^+$: 427.2022, found : 427.2022.



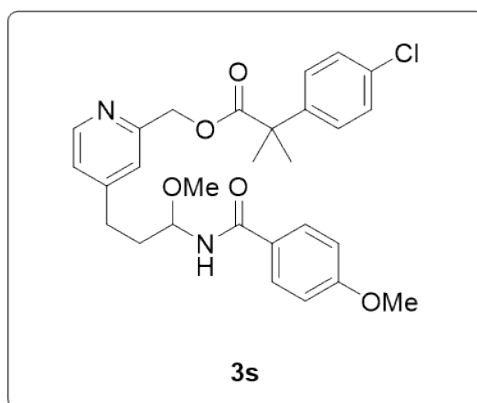
4-methoxy-N-(1-methoxy-3-(6-methylquinolin-4-yl)propyl)benzamide (3p). Yield : 58% (20.7 mg). Yellow solid. ^1H NMR (400 MHz, CDCl_3) δ 8.71 (d, J = 4.4 Hz, 1H), 7.99 (d, J = 8.6 Hz, 1H), 7.78 (s, 1H), 7.52 (dd, J = 8.7, 1.9 Hz, 2H), 7.20 (d, J = 4.4 Hz, 1H), 7.06 – 6.63 (m, 2H), 6.30 (d, J = 9.4 Hz, 1H), 5.78 – 5.11 (m, 1H), 3.84 (s, 4H), 3.44 (s, 3H), 3.20 (dddd, J = 44.5, 14.7, 9.5, 6.2 Hz, 2H), 2.54 (s, 3H), 2.16 (dddd, J = 32.7, 13.8, 9.3, 7.3 Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.1, 162.7, 149.4, 147.0, 146.6, 136.5, 131.5, 130.1, 129.0, 127.4, 126.0, 122.4, 120.9, 114.0, 81.4, 56.2, 55.6, 36.1, 27.6, 22.1. HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{25}\text{N}_2\text{O}_3^+$: 365.1865, found : 365.1865



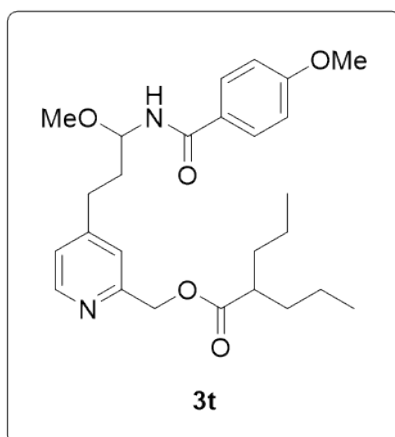
2-chloro-N-(4-chloro-3-(4-(3-methoxy-3-(4-methoxybenzamido)propyl)pyridin-2-yl)phenyl)-4-(methylsulfonyl)benzamidebenzamide (3q). Yield : 75% (65.1 mg). White solid. ^1H NMR (500 MHz, CDCl_3) δ 10.61 (s, 1H), 8.18 (dd, J = 8.8, 2.6 Hz, 1H), 8.14 (d, J = 5.1 Hz, 1H), 7.88 – 7.74 (m, 3H), 7.68 (d, J = 2.7 Hz, 1H), 7.58 (dd, J = 7.9, 1.7 Hz, 1H), 7.52 (d, J = 1.7 Hz, 1H), 7.48 (d, J = 8.8 Hz, 1H), 7.37 (d, J = 7.9 Hz, 1H), 7.03 – 6.86 (m, 3H), 6.66 (d, J = 9.5 Hz, 1H), 5.35 (ddd, J = 9.4, 7.0, 5.6 Hz, 1H), 3.86 (s, 3H), 3.41 (s, 3H), 2.96 (s, 3H), 2.82 (ddd, J = 15.4, 10.2, 5.7 Hz, 1H), 2.75 (s, 1H), 2.09 (dddd, J = 13.1, 10.1, 7.1, 5.8 Hz, 1H), 2.04 – 1.85 (m, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 167.3, 164.2, 162.6, 155.5, 151.5, 148.7, 142.2, 141.1, 138.2, 137.6, 132.3, 131.1, 129.7, 129.2, 128.7, 127.0, 126.0, 125.6, 123.1, 122.9, 121.8, 113.9, 80.9, 56.0, 55.6, 44.4, 36.0, 30.8. HRMS (ESI, m/z) calculated for $\text{C}_{31}\text{H}_{30}\text{N}_3\text{O}_6\text{SCl}_2^+$: 642.1232, found : 642.1230.



((4-(3-methoxy-3-(4-methoxybenzamido)propyl)pyridin-2-yl)methylene)bis(4,1-phenylene) diacetate (3r). Yield : 70% (40.9 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 8.45 (d, J = 5.1 Hz, 1H), 7.70 (d, J = 8.7 Hz, 2H), 7.15 (d, J = 8.4 Hz, 4H), 7.13 – 6.95 (m, 5H), 6.96 – 6.81 (m, 3H), 6.27 (d, J = 9.6 Hz, 1H), 5.60 (s, 1H), 5.32 (dt, J = 9.3, 6.1 Hz, 1H), 3.84 (s, 3H), 3.35 (s, 3H), 2.70 (dddd, J = 38.0, 14.6, 9.4, 6.2 Hz, 2H), 2.26 (s, 6H), 2.03 – 1.86 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 169.6, 167.0, 162.8, 162.7, 151.1, 149.7, 149.4, 140.1, 130.4, 129.0, 126.0, 124.0, 121.9, 121.6, 114.0, 81.1, 58.1, 56.1, 55.6, 36.3, 30.8, 29.8, 21.3. HRMS (ESI, m/z) calculated for $\text{C}_{34}\text{H}_{35}\text{N}_2\text{O}_7^+$: 583.2444, found : 583.2444, calculated for $\text{C}_{34}\text{H}_{34}\text{N}_2\text{O}_7\text{Na}^+$: 605.2264, found : 605.2264.

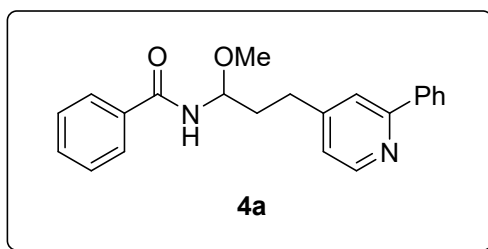


(4-(3-methoxy-3-(4-methoxybenzamido)propyl)pyridin-2-yl)methyl 2-(4-chlorophenyl)-2-methylpropanoate (3s). Yield : 70% (36.6 mg). Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 8.42 (d, J = 5.0 Hz, 1H), 7.71 (d, J = 8.8 Hz, 2H), 7.13 (d, J = 8.9 Hz, 2H), 7.05 (dd, J = 5.0, 1.7 Hz, 1H), 6.99 – 6.87 (m, 3H), 6.77 (d, J = 8.9 Hz, 2H), 6.20 (d, J = 9.5 Hz, 1H), 5.43 – 5.30 (m, 1H), 5.25 (d, J = 1.5 Hz, 2H), 3.85 (s, 4H), 3.39 (s, 3H), 2.68 (dddd, J = 39.4, 14.5, 9.4, 6.3 Hz, 2H), 2.03 – 1.86 (m, 1H), 1.63 (s, 6H). ^{13}C NMR (100 MHz, CDCl_3) δ 173.7, 167.0, 162.7, 155.4, 154.2, 151.4, 149.5, 129.3, 129.0, 127.2, 125.9, 123.2, 121.9, 120.4, 114.0, 81.1, 79.6, 67.6, 56.1, 55.6, 36.3, 30.8, 29.8, 25.54, 25.47. HRMS (ESI, m/z) calculated for $\text{C}_{28}\text{H}_{32}\text{N}_2\text{O}_6\text{Cl}^+$: 527.1949, found : 527.1949, calculated for $\text{C}_{28}\text{H}_{31}\text{N}_2\text{O}_6\text{NaCl}^+$: 549.1768, found : 547.1767.

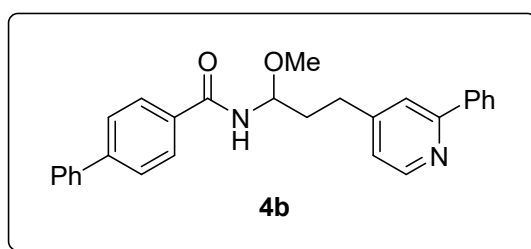


(4-(3-methoxy-3-(4-methoxybenzamido)propyl)pyridin-2-yl)methyl 2-propylpentanoate (3t). Yield : 72% (32.8 mg). Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 8.45 (d, J = 5.1 Hz, 1H), 7.74 – 7.59 (m, 2H), 7.18 (d, J = 1.7 Hz, 1H), 7.06 (dd, J = 5.1, 1.7 Hz, 1H), 6.92 (d, J = 8.8 Hz, 2H), 6.21 (d, J = 9.5 Hz, 1H), 5.36 (dt, J = 9.6, 6.3 Hz, 1H), 5.18 (s, 2H), 3.85 (s, 3H), 3.39 (s, 3H), 2.77 (dddd, J = 39.1, 14.5, 9.5, 6.3 Hz, 2H), 2.47 (tt, J = 8.8, 5.4 Hz, 1H), 2.13 – 1.89 (m, 2H), 1.70 – 1.52 (m, 2H), 1.52 – 1.38 (m, 2H), 1.36 – 1.10 (m, 4H), 0.88 (t, J = 7.3 Hz, 6H). ^{13}C NMR (100 MHz, CDCl_3) δ 176.3, 167.0, 162.8, 156.4, 151.3, 149.6, 128.9, 125.9,

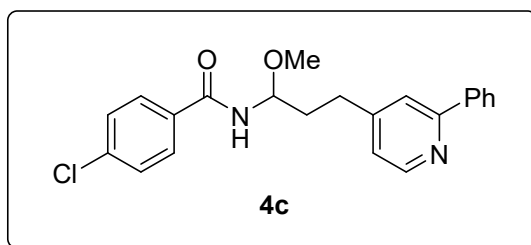
123.0, 121.8, 114.0, 81.2, 66.5, 56.2, 55.6, 45.3, 36.4, 34.7, 30.9, 29.8, 20.7, 14.1. HRMS (ESI, m/z) calculated for $C_{26}H_{37}N_2O_5^+$: 457.2702, found : 457.2704, calculated for $C_{26}H_{36}N_2O_5Na^+$: 479.2522, found : 479.2523.



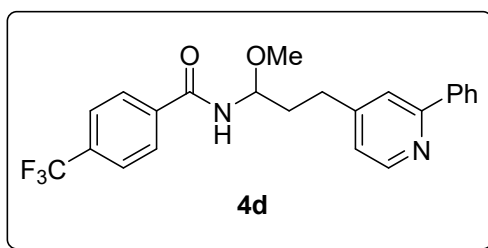
N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4a). Yield : 50% (17.2 mg). Colorless oil. 1H NMR (500 MHz, $CDCl_3$) δ 8.58 (d, J = 5.0 Hz, 1H), 7.99 – 7.93 (m, 2H), 7.78 – 7.70 (m, 2H), 7.58 (s, 1H), 7.56 – 7.50 (m, 1H), 7.50 – 7.38 (m, 5H), 7.10 (dd, J = 5.1, 1.6 Hz, 1H), 6.24 (d, J = 9.6 Hz, 1H), 5.46 – 5.38 (m, 1H), 3.43 (s, 3H), 2.89 (ddd, J = 15.2, 9.4, 6.1 Hz, 1H), 2.85 – 2.76 (m, 1H), 2.20 – 2.01 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.5, 157.9, 151.0, 149.9, 139.5, 133.8, 132.2, 129.1, 128.89, 128.85, 127.12, 127.05, 122.4, 120.9, 81.4, 56.3, 36.5, 31.0. HRMS (ESI, m/z) calculated for $C_{22}H_{23}N_2O_2^+$: 347.1760, found : 347.1761



N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-[1,1'-biphenyl]-4-carboxamide (4b). Yield : 57% (24.1 mg). White solid. 1H NMR (500 MHz, $CDCl_3$) δ 8.59 (d, J = 5.0 Hz, 1H), 8.00 – 7.93 (m, 2H), 7.84 – 7.78 (m, 2H), 7.69 – 7.63 (m, 2H), 7.62 – 7.57 (m, 2H), 7.46 (ddd, J = 7.7, 6.7, 5.4 Hz, 4H), 7.42 – 7.36 (m, 2H), 7.11 (dd, J = 5.1, 1.6 Hz, 1H), 6.30 (s, 1H), 5.45 (ddd, J = 9.6, 6.7, 5.7 Hz, 1H), 3.45 (s, 3H), 2.86 (dddd, J = 46.7, 14.5, 9.3, 6.3 Hz, 2H), 2.22 – 2.03 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 167.2, 157.9, 151.1, 149.9, 145.0, 140.0, 139.5, 132.4, 129.09, 129.05, 128.8, 128.3, 127.6, 127.5, 127.4, 127.1, 122.4, 121.0, 81.4, 56.3, 36.5, 31.0. HRMS (ESI, m/z) calculated for $C_{28}H_{27}N_2O_2^+$: 423.2073, found : 423.2075

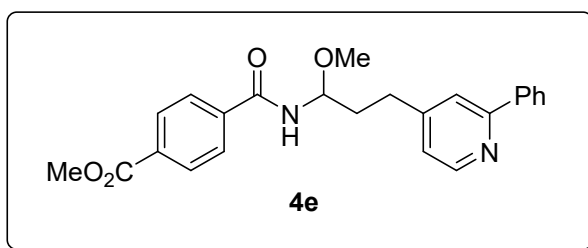


4-chloro-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4c). Yield : 50% (19.0 mg). White solid. 1H NMR (500 MHz, $CDCl_3$) δ 8.57 (dd, J = 5.1, 0.8 Hz, 1H), 7.97 – 7.91 (m, 2H), 7.69 – 7.62 (m, 2H), 7.56 (dd, J = 1.7, 0.8 Hz, 1H), 7.49 – 7.43 (m, 2H), 7.43 – 7.36 (m, 3H), 7.08 (dd, J = 5.0, 1.7 Hz, 1H), 6.29 (d, J = 9.4 Hz, 1H), 5.39 (ddd, J = 9.5, 6.7, 5.7 Hz, 1H), 3.41 (s, 3H), 2.88 (ddd, J = 15.1, 9.3, 6.2 Hz, 1H), 2.78 (ddd, J = 14.2, 9.2, 6.6 Hz, 1H), 2.13 (ddt, J = 13.1, 9.2, 6.4 Hz, 1H), 2.05 (dddd, J = 13.7, 9.4, 6.6, 5.7 Hz, 1H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 166.5, 157.9, 151.0, 149.9, 139.4, 138.5, 132.1, 129.10, 129.09, 128.8, 128.5, 127.1, 122.4, 120.9, 81.5, 56.3, 36.4, 31.0. HRMS (ESI, m/z) calculated for $C_{22}H_{22}N_2O_2Cl^+$: 381.1370, found : 381.1373

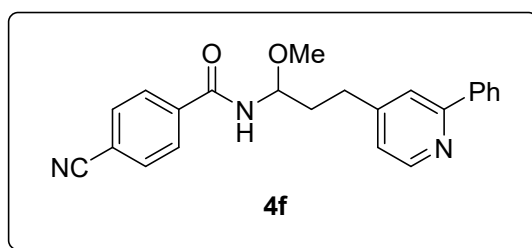


N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-4-(trifluoromethyl)benzamide (4d). Yield : 49% (20.1 mg). White solid. 1H NMR (500 MHz, $CDCl_3$) δ 8.58 (dd, J = 5.1, 2.0 Hz, 1H), 7.97 – 7.91 (m, 2H), 7.82 (d, J = 8.1 Hz, 2H), 7.74 – 7.64 (m, 2H), 7.58 – 7.54 (m, 1H), 7.45 (ddd, J = 7.8, 6.6, 1.7 Hz, 2H), 7.42 – 7.37 (m, 1H), 7.09 (dt, J = 5.1, 1.8 Hz, 1H), 6.41 (d, J = 17.0 Hz, 1H),

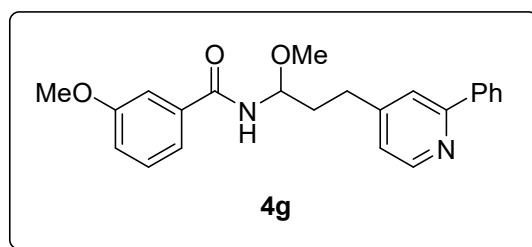
5.41 (dt, $J = 9.5, 6.2$ Hz, 1H), 3.43 (s, 3H), 2.94 – 2.84 (m, 1H), 2.79 (dtd, $J = 14.3, 7.8, 2.1$ Hz, 1H), 2.21 – 2.02 (m, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 166.3, 157.9, 151.0, 149.9, 139.4, 137.1, 134.0, 133.7, 129.1, 128.9, 127.6, 127.1, 125.93, 125.90, 125.87, 125.84, 122.4, 120.9, 81.7, 56.4, 36.3, 30.9. ^{19}F NMR (471 MHz, CDCl_3) δ -63.01. HRMS (ESI, m/z) calculated for $\text{C}_{23}\text{H}_{22}\text{N}_2\text{O}_2\text{F}_3^+$: 415.1633, found : 415.1632



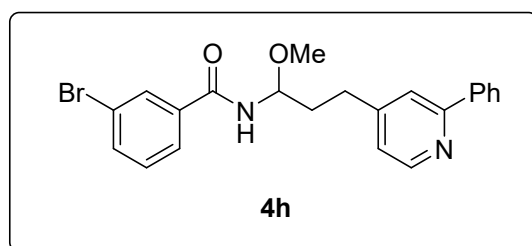
methyl 4-((1-methoxy-3-(2-phenylpyridin-4-yl)propyl)carbamoyl)benzoate (4e). Yield : 57% (22.9 mg). Yellow oil. ^1H NMR (500 MHz, CDCl_3) δ 8.56 (d, $J = 5.0$ Hz, 1H), 8.10 – 8.04 (m, 2H), 7.94 (dd, $J = 7.0, 1.5$ Hz, 2H), 7.82 – 7.76 (m, 2H), 7.56 (dd, $J = 1.7, 0.8$ Hz, 1H), 7.47 – 7.42 (m, 2H), 7.42 – 7.37 (m, 1H), 7.08 (dd, $J = 5.2, 1.7$ Hz, 1H), 6.48 (d, $J = 9.5$ Hz, 1H), 5.40 (ddd, $J = 9.5, 6.7, 5.5$ Hz, 1H), 3.93 (s, 3H), 3.42 (s, 3H), 2.88 (ddd, $J = 15.2, 9.5, 6.1$ Hz, 1H), 2.79 (ddd, $J = 14.1, 9.3, 6.5$ Hz, 1H), 2.14 (dtd, $J = 13.2, 9.3, 6.4$ Hz, 1H), 2.06 (dddd, $J = 13.8, 9.4, 6.6, 5.6$ Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 166.7, 166.3, 157.9, 151.0, 149.9, 149.8, 139.4, 137.7, 133.3, 130.0, 129.1, 128.8, 127.1, 122.4, 120.9, 81.6, 56.4, 52.5, 36.3, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_4^+$: 405.1814, found : 405.1815



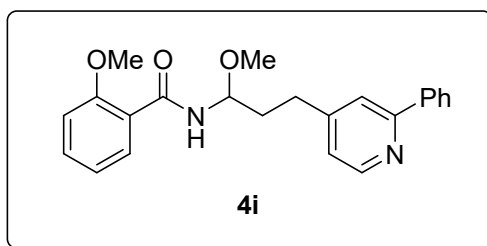
4-cyano-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4f). Yield : 52% (19.2 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.6 (d, $J = 5.0$ Hz, 1H), 8.0 – 7.9 (m, 2H), 7.8 – 7.7 (m, 2H), 7.7 – 7.7 (m, 2H), 7.6 (s, 1H), 7.5 – 7.4 (m, 2H), 7.4 – 7.4 (m, 1H), 7.1 (dd, $J = 5.0, 1.6$ Hz, 1H), 6.3 (d, $J = 9.4$ Hz, 1H), 5.4 (ddd, $J = 9.4, 6.8, 5.6$ Hz, 1H), 3.4 (s, 3H), 2.9 (ddd, $J = 14.9, 9.0, 6.3$ Hz, 1H), 2.8 (ddd, $J = 14.6, 8.9, 6.8$ Hz, 1H), 2.2 – 2.0 (m, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 165.7, 157.9, 150.9, 150.0, 139.4, 137.6, 132.7, 129.2, 128.9, 127.7, 127.1, 122.4, 120.9, 117.9, 115.8, 81.8, 56.5, 36.3, 30.9. HRMS (ESI, m/z) calculated for $\text{C}_{23}\text{H}_{22}\text{N}_3\text{O}_2^+$: 372.1712, found : 372.1713



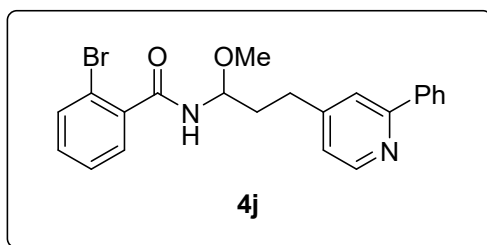
3-methoxy-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4g). Yield : 65% (24.4 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.57 (d, $J = 5.0$ Hz, 1H), 7.99 – 7.91 (m, 2H), 7.55 (s, 1H), 7.49 – 7.42 (m, 2H), 7.42 – 7.37 (m, 1H), 7.36 (dd, $J = 2.7, 1.6$ Hz, 1H), 7.32 (t, $J = 7.9$ Hz, 1H), 7.28 – 7.21 (m, 1H), 7.10 – 7.02 (m, 2H), 6.41 (d, $J = 9.6$ Hz, 1H), 5.40 (ddd, $J = 9.6, 6.7, 5.7$ Hz, 1H), 3.82 (s, 3H), 3.42 (s, 3H), 2.82 (dddd, $J = 44.5, 14.2, 9.5, 6.2$ Hz, 2H), 2.12 (ddt, $J = 13.1, 9.5, 6.4$ Hz, 1H), 2.04 (ddt, $J = 13.7, 9.6, 6.2$ Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 167.4, 160.0, 157.8, 151.1, 149.8, 139.4, 135.2, 129.8, 129.0, 128.8, 127.1, 122.4, 120.9, 118.7, 118.3, 112.6, 81.3, 56.2, 55.5, 36.4, 31.0, 29.8. HRMS (ESI, m/z) calculated $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}_3^+$: 377.1865, found : 377.1866



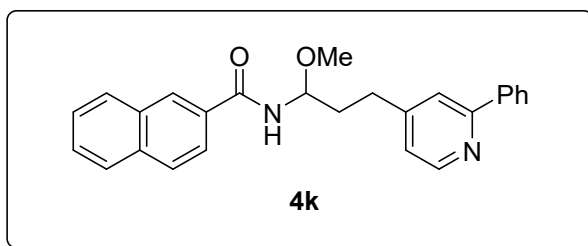
3-bromo-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4h). Yield : 50% (21.1 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.59 (d, J = 4.9 Hz, 1H), 8.01 – 7.93 (m, 2H), 7.89 (s, 1H), 7.64 (dd, J = 13.4, 8.0 Hz, 2H), 7.57 (s, 1H), 7.47 (t, J = 7.6 Hz, 2H), 7.41 (d, J = 7.3 Hz, 1H), 7.31 (t, J = 7.8 Hz, 1H), 7.09 (d, J = 4.4 Hz, 1H), 6.18 (d, J = 9.5 Hz, 1H), 5.39 (q, J = 7.3 Hz, 1H), 3.43 (s, 3H), 2.94 – 2.84 (m, 1H), 2.80 (dt, J = 14.8, 7.8 Hz, 1H), 2.19 – 2.11 (m, 1H), 2.10 – 2.02 (m, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 166.1, 157.9, 150.9, 150.0, 139.5, 135.8, 135.1, 130.43, 130.38, 129.1, 128.9, 127.1, 125.5, 123.1, 122.4, 120.9, 81.6, 56.4, 36.5, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{Br}^+$: 425.0865, found : 425.0866



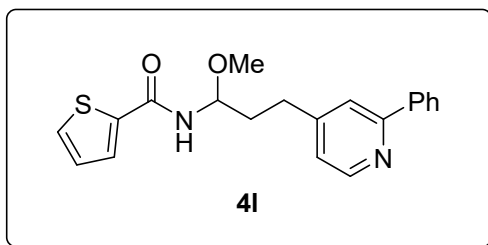
2-methoxy-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4i). Yield : 60% (22.5 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.56 (d, J = 5.0 Hz, 1H), 8.20 (dd, J = 7.8, 1.9 Hz, 1H), 8.01 (d, J = 9.4 Hz, 1H), 7.97 – 7.91 (m, 2H), 7.56 (s, 1H), 7.49 – 7.42 (m, 3H), 7.42 – 7.36 (m, 1H), 7.09 (ddt, J = 7.4, 5.2, 2.3 Hz, 2H), 6.96 (dd, J = 8.4, 1.0 Hz, 1H), 5.47 (dt, J = 9.4, 6.2 Hz, 1H), 3.92 (s, 3H), 3.43 (s, 3H), 2.83 (dddd, J = 38.6, 14.1, 9.6, 6.2 Hz, 2H), 2.10 (dddt, J = 47.8, 13.7, 9.8, 6.3 Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 165.7, 157.69, 157.67, 151.3, 149.7, 139.5, 133.4, 132.6, 128.9, 128.8, 127.0, 122.4, 121.5, 120.9, 111.5, 81.0, 56.08, 56.06, 36.6, 31.0, 29.8. HRMS (ESI, m/z) calculated for $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}_3^+$: 377.1865, found : 377.1864



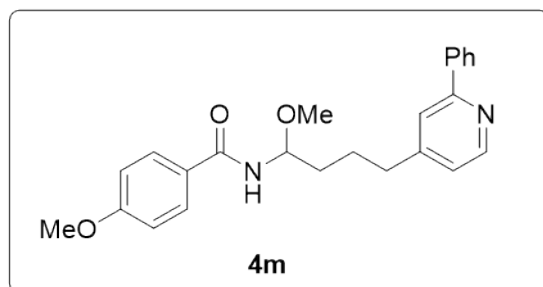
2-bromo-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4j). Yield : 43% (18.2 mg). Ivory oil. ^1H NMR (500 MHz, CDCl_3) δ 8.59 (d, J = 5.0 Hz, 1H), 8.01 – 7.94 (m, 2H), 7.64 – 7.57 (m, 2H), 7.52 (dd, J = 7.6, 1.8 Hz, 1H), 7.49 – 7.44 (m, 2H), 7.43 – 7.39 (m, 1H), 7.37 (tt, J = 7.6, 1.9 Hz, 1H), 7.30 (td, J = 7.7, 1.8 Hz, 1H), 7.10 (dd, J = 5.1, 1.7 Hz, 1H), 6.19 (d, J = 9.9 Hz, 1H), 5.38 (ddd, J = 9.7, 6.8, 5.7 Hz, 1H), 3.51 (s, 3H), 2.94 – 2.79 (m, 2H), 2.18 – 2.00 (m, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 167.8, 157.9, 151.0, 149.9, 139.6, 137.5, 133.7, 131.7, 129.7, 129.0, 128.8, 127.8, 127.1, 122.4, 120.9, 119.1, 81.4, 56.5, 36.5, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{Br}^+$: 425.0865, found : 425.0866



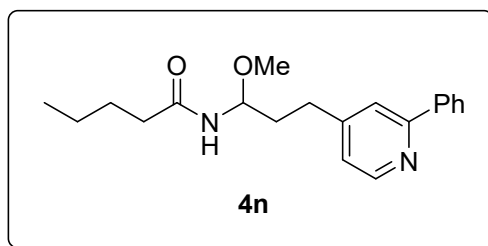
N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-2-naphthamide (4k). Yield : 61% (24.2 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.57 (d, J = 5.0 Hz, 1H), 8.24 (s, 1H), 7.95 (d, J = 6.9 Hz, 2H), 7.92 – 7.84 (m, 3H), 7.80 (d, J = 8.5 Hz, 1H), 7.61 – 7.49 (m, 3H), 7.44 (t, J = 7.4 Hz, 2H), 7.39 (t, J = 7.2 Hz, 1H), 7.09 (d, J = 3.2 Hz, 1H), 6.57 – 6.51 (m, 1H), 5.48 (dt, J = 9.5, 6.2 Hz, 1H), 3.46 (s, 3H), 2.91 (ddd, J = 15.2, 9.4, 6.1 Hz, 1H), 2.81 (ddd, J = 14.5, 9.0, 6.4 Hz, 1H), 2.23 – 2.14 (m, 1H), 2.14 – 2.05 (m, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 167.7, 157.8, 151.1, 149.9, 139.5, 135.1, 132.6, 130.9, 129.1, 129.0, 128.81, 128.78, 128.1, 127.9, 127.7, 127.07, 127.05, 123.51, 123.48, 122.4, 120.9, 81.4, 56.3, 36.4, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{26}\text{H}_{25}\text{N}_2\text{O}_2^+$: 397.1916, found : 397.1916



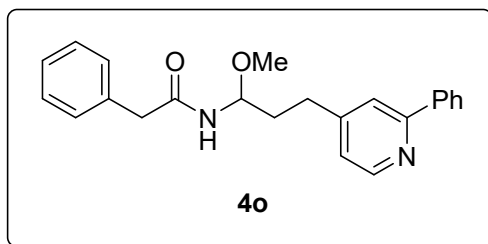
N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)thiophene-2-carboxamide (4l). Yield : 43% (15.1 mg) White solid. ^1H NMR (500 MHz, CDCl_3) δ 8.61 – 8.56 (m, 1H), 7.99 – 7.93 (m, 2H), 7.57 (s, 1H), 7.54 – 7.49 (m, 1H), 7.46 (q, J = 5.5 Hz, 3H), 7.41 (d, J = 7.2 Hz, 1H), 7.09 (d, J = 6.2 Hz, 2H), 6.04 (d, J = 9.6 Hz, 1H), 5.37 (q, J = 7.1 Hz, 1H), 3.43 (s, 3H), 2.89 (dt, J = 15.4, 7.7 Hz, 1H), 2.79 (dt, J = 14.8, 7.8 Hz, 1H), 2.14 (dt, J = 14.0, 7.2 Hz, 1H), 2.07 (p, J = 7.0 Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 150.8, 149.8, 139.4, 130.8, 128.9, 128.7, 128.5, 127.8, 127.0, 122.2, 120.8, 81.2, 56.2, 36.3, 30.8. HRMS (ESI, m/z) calculated for $\text{C}_{20}\text{H}_{21}\text{N}_2\text{O}_2\text{S}^+$: 353.1324, found : 353.1324



4-methoxy-N-(1-methoxy-4-(2-phenylpyridin-4-yl)butyl)benzamide (4m). Yield : 71% (27.4 mg). White solid. ^1H NMR (500 MHz, CDCl_3) δ 8.55 (d, J = 5.0 Hz, 1H), 8.08 – 7.85 (m, 2H), 7.83 – 7.72 (m, 2H), 7.53 (d, J = 1.6 Hz, 1H), 7.50 – 7.43 (m, 2H), 7.43 – 7.33 (m, 1H), 7.04 (dd, J = 5.1, 1.6 Hz, 1H), 6.91 (d, J = 8.8 Hz, 2H), 6.26 (d, J = 9.6 Hz, 1H), 5.39 (dt, J = 9.6, 5.7 Hz, 1H), 3.83 (s, 3H), 3.38 (s, 3H), 2.71 (dq, J = 7.7, 3.2 Hz, 2H), 1.88 – 1.73 (m, 3H), 1.73 – 1.58 (m, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 167.1, 162.7, 157.7, 151.7, 149.7, 139.6, 128.98, 128.97, 128.8, 127.1, 126.0, 122.5, 121.0, 114.0, 81.5, 56.1, 55.6, 35.3, 35.0, 25.9. HRMS (ESI, m/z) calculated for $\text{C}_{24}\text{H}_{27}\text{N}_2\text{O}_3^+$: 391.2022, found : 391.2023

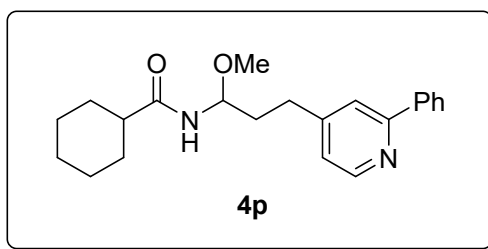


N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)pentanamide (4n). Yield : 51% (16.6 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.58 (d, J = 5.0 Hz, 1H), 7.96 (d, J = 7.1 Hz, 1H), 7.55 (s, 2H), 7.47 (t, J = 7.3 Hz, 1H), 7.41 (d, J = 6.9 Hz, 1H), 7.07 (d, J = 5.1 Hz, 1H), 5.59 (s, 1H), 5.19 (dt, J = 9.7, 6.2 Hz, 1H), 3.35 (s, 3H), 2.81 (ddd, J = 15.3, 9.7, 5.9 Hz, 1H), 2.72 (ddd, J = 14.5, 9.6, 6.4 Hz, 1H), 2.23 – 2.16 (m, 2H), 2.01 (ddt, J = 13.0, 9.5, 6.4 Hz, 1H), 1.91 (ddt, J = 13.1, 9.4, 5.8 Hz, 1H), 1.61 (p, J = 7.5 Hz, 2H), 1.41 – 1.29 (m, 2H), 0.95 – 0.87 (m, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 173.6, 157.8, 151.1, 149.8, 139.5, 129.1, 128.9, 127.1, 122.4, 120.9, 80.5, 56.0, 36.7, 36.4, 31.1, 27.8, 22.5, 13.9. HRMS (ESI, m/z) calculated for $\text{C}_{20}\text{H}_{27}\text{N}_2\text{O}_2^+$: 327.2073, found : 327.2073

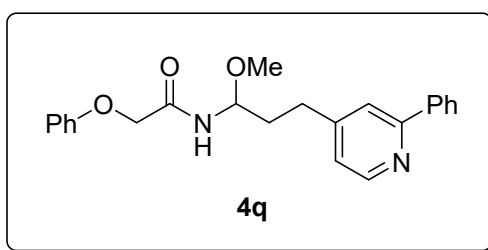


N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-2-phenylacetamide (4o). Yield : 50% (17.9 mg). White solid. ^1H NMR (500 MHz, CDCl_3) δ 8.57 (d, J = 5.0 Hz, 1H), 7.96 (d, J = 8.5 Hz, 2H), 7.51 – 7.44 (m, 3H), 7.44 – 7.39 (m, 1H), 7.36 (td, J = 7.2, 1.7 Hz, 1H), 7.33 – 7.28 (m, 1H), 7.25 – 7.22 (m, 1H), 7.01 (d, J = 5.0 Hz, 1H), 5.46 (d, J = 9.7 Hz, 1H), 5.16 (q, J = 6.6 Hz, 1H), 3.59 (q, J = 16.0 Hz, 2H), 3.29 (s, 3H), 2.76 – 2.68 (m, 1H), 2.63 (dt, J = 14.7, 7.7 Hz, 1H), 1.98 – 1.87 (m, 1H), 1.82 (t, J = 7.3 Hz, 1H).

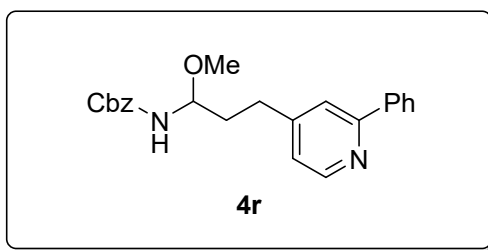
^{13}C NMR (125 MHz, CDCl_3) δ 171.4, 157.8, 151.0, 149.9, 139.6, 134.5, 129.5, 129.4, 129.1, 128.9, 127.8, 127.1, 122.4, 120.9, 80.8, 56.0, 44.2, 36.3, 30.9. HRMS (ESI, m/z) calculated for $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}_2^+$: 361.1916, found : 361.1917



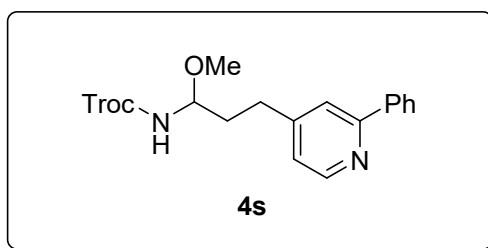
N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)cyclohexanecarboxamide (4p). Yield : 42% (14.8 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.58 (d, J = 5.0 Hz, 1H), 7.96 (d, J = 7.6 Hz, 2H), 7.54 (s, 1H), 7.46 (t, J = 7.5 Hz, 2H), 7.40 (t, J = 7.3 Hz, 1H), 7.06 (d, J = 5.0 Hz, 1H), 5.59 (t, J = 8.3 Hz, 1H), 5.20 (dt, J = 9.2, 6.3 Hz, 1H), 3.33 (s, 3H), 2.80 (ddd, J = 15.4, 8.6, 5.5 Hz, 1H), 2.72 (ddd, J = 14.6, 9.5, 6.4 Hz, 1H), 2.08 (tq, J = 11.0, 3.7 Hz, 1H), 2.04 – 1.97 (m, 1H), 1.97 – 1.88 (m, 1H), 1.88 – 1.82 (m, 2H), 1.80 – 1.74 (m, 1H), 1.74 – 1.62 (m, 2H), 1.43 (qd, J = 12.3, 3.3 Hz, 2H), 1.33 – 1.15 (m, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 176.6, 157.8, 151.1, 149.9, 139.6, 129.0, 128.9, 127.1, 122.4, 120.9, 80.4, 56.0, 45.8, 36.5, 31.0, 30.0, 29.7, 25.80, 25.78, 25.72. HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{29}\text{N}_2\text{O}_2^+$: 353.2229, found : 353.2227



N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-2-phenoxyacetamide (4q). Yield : 60% (22.4 mg). Yellow oil. ^1H NMR (500 MHz, CDCl_3) δ 8.59 (d, J = 5.0 Hz, 1H), 7.98 (d, J = 7.0 Hz, 2H), 7.55 (s, 1H), 7.48 (td, J = 7.4, 1.9 Hz, 2H), 7.45 – 7.40 (m, 1H), 7.40 – 7.31 (m, 2H), 7.05 (td, J = 7.8, 2.1 Hz, 2H), 6.97 – 6.91 (m, 2H), 6.79 (t, J = 9.5 Hz, 1H), 5.27 (ddd, J = 9.8, 6.8, 5.7 Hz, 1H), 4.55 (qd, J = 15.1, 1.9 Hz, 2H), 3.37 (s, 3H), 2.80 (d, J = 6.1 Hz, 1H), 2.74 (s, 1H), 2.09 (dq, J = 19.1, 6.5, 3.5 Hz, 1H), 1.98 (dddd, J = 15.8, 11.8, 6.2, 2.3 Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 169.1, 158.0, 157.3, 151.1, 150.0, 139.7, 130.2, 129.3, 129.1, 127.3, 122.7, 122.6, 121.1, 114.9, 80.7, 67.3, 56.4, 36.5, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}_3^+$: 377.1865, found : 377.1864

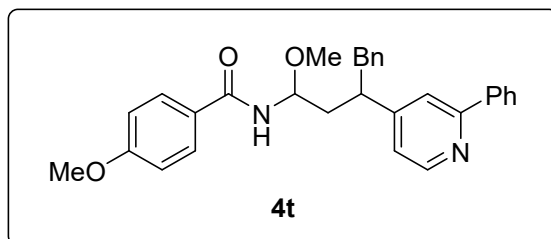


benzyl (1-methoxy-3-(2-phenylpyridin-4-yl)propyl)carbamate (4r). Yield : 50% (18.6 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.57 (d, J = 5.0 Hz, 1H), 7.99 – 7.93 (m, 2H), 7.54 (s, 1H), 7.50 – 7.44 (m, 2H), 7.44 – 7.39 (m, 1H), 7.39 – 7.30 (m, 5H), 7.05 (d, J = 5.0 Hz, 1H), 5.12 (s, 2H), 5.01 (d, J = 10.1 Hz, 1H), 4.93 (dt, J = 10.5, 6.2 Hz, 1H), 3.37 (s, 3H), 2.84 – 2.69 (m, 2H), 2.02 (ddt, J = 13.2, 9.3, 6.5 Hz, 1H), 1.94 (dq, J = 12.7, 4.8 Hz, 1H). ^{13}C NMR (125 MHz, CDCl_3) δ 157.9, 156.1, 150.9, 149.9, 139.6, 136.2, 129.0, 128.9, 128.8, 128.5, 128.3, 127.1, 122.4, 120.9, 83.1, 67.2, 55.8, 36.6, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{23}\text{H}_{25}\text{N}_2\text{O}_3^+$: 377.1865, found : 377.1866

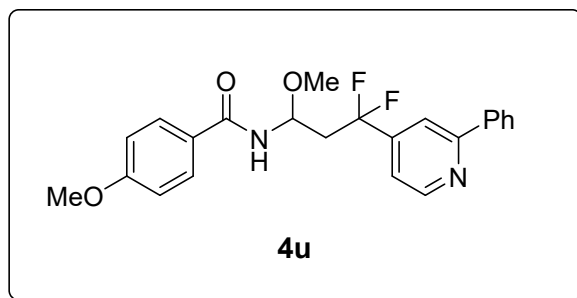


2,2,2-trichloroethyl (1-methoxy-3-(2-phenylpyridin-4-yl)propyl)carbamate (4s). Yield : 51% (21.2 mg). Colorless oil. ^1H NMR

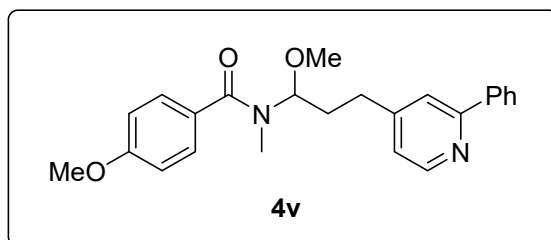
(500 MHz, CDCl₃) δ 8.59 (d, J = 5.0 Hz, 1H), 7.97 (d, J = 7.3 Hz, 2H), 7.55 (s, 1H), 7.47 (dd, J = 8.2, 6.7 Hz, 2H), 7.44 – 7.38 (m, 1H), 7.07 (dd, J = 5.0, 1.6 Hz, 1H), 5.24 (d, J = 10.1 Hz, 1H), 4.93 (dt, J = 10.1, 6.3 Hz, 1H), 4.81 (d, J = 12.1 Hz, 1H), 4.70 (d, J = 12.0 Hz, 1H), 3.39 (s, 3H), 2.87 – 2.72 (m, 2H), 2.07 (dq, J = 9.2, 6.8 Hz, 1H), 1.99 (ddd, J = 14.0, 8.4, 4.7 Hz, 1H). ¹³C NMR (125 MHz, CDCl₃) δ 157.9, 154.4, 150.7, 149.9, 139.5, 129.1, 128.9, 127.1, 122.4, 120.9, 95.6, 83.4, 74.6, 55.9, 36.5, 31.0. HRMS (ESI, m/z) calculated for C₁₈H₂₀N₂O₃Cl₃⁺ : 417.0540, found : 417.0541



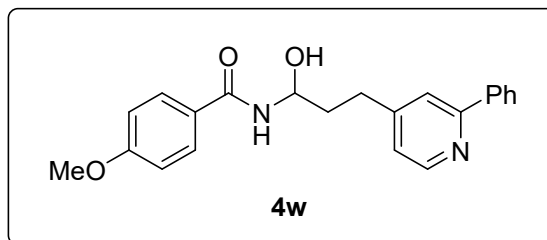
4-methoxy-N-(1-methoxy-4-phenyl-3-(2-phenylpyridin-4-yl)butyl)benzamide (4t) Yield : 81% (37.6 mg). Colorless oil. Diastereomer mixture, 1.2:1. ¹H NMR (400 MHz, CDCl₃) δ 8.57 (dd, J = 12.2, 5.1 Hz, 1H), 8.07 – 7.89 (m, 1.2H), 7.85 (dd, J = 8.2, 1.6 Hz, 0.8H), 7.55 (dd, J = 8.8, 3.1 Hz, 2H), 7.52 – 7.34 (m, 4H), 7.25 – 7.13 (m, 3H), 7.05 (ddd, J = 8.1, 6.5, 2.8 Hz, 3H), 6.87 (d, J = 8.8 Hz, 1.1H), 6.85 – 6.76 (m, 0.8H), 6.03 (dd, J = 18.1, 9.5 Hz, 1H), 5.33 (dt, J = 9.6, 5.9 Hz, 0.6H), 5.18 (ddd, J = 9.5, 7.8, 5.7 Hz, 0.4H), 3.83 (s, 1.8H), 3.79 (s, 1.2H), 3.27 (d, J = 1.7 Hz, 3H), 3.18 (pd, J = 7.9, 6.9, 4.0 Hz, 1H), 3.07 – 2.85 (m, 2H), 2.32 – 1.71 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 166.7, 166.6, 162.62, 162.56, 157.82, 157.76, 154.5, 153.9, 149.92, 149.87, 139.5, 139.1, 139.0, 129.2, 129.0, 128.9, 128.8, 128.74, 128.68, 128.5, 128.4, 127.12, 127.08, 126.5, 126.4, 125.8, 125.7, 121.7, 121.3, 120.5, 120.4, 113.9, 113.8, 80.3, 79.9, 77.4, 77.1, 76.8, 56.0, 55.9, 55.51, 55.45, 43.9, 43.4, 43.3, 42.3, 40.9, 40.6. HRMS (ESI, m/z) calculated for C₃₀H₃₁N₂O₃⁺ : 467.2335, found : 467.2334.



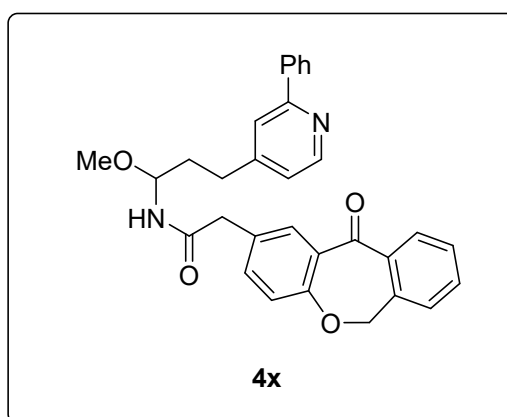
N-(3,3-difluoro-1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-4-methoxybenzamide (4u). Yield : 74% (30.2 mg). Colorless oil. ¹H NMR (500 MHz, CDCl₃) δ 8.74 (d, J = 5.1 Hz, 1H), 8.05 – 7.87 (m, 2H), 7.79 (s, 1H), 7.71 – 7.60 (m, 2H), 7.45 (tt, J = 14.7, 7.1 Hz, 3H), 7.32 – 7.28 (m, 1H), 6.90 – 6.85 (m, 2H), 6.31 (d, J = 9.6 Hz, 1H), 5.56 (dt, J = 9.9, 6.1 Hz, 1H), 3.83 (s, 3H), 3.30 (s, 3H), 2.68 (dq, J = 55.6, 15.1, 6.1 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 166.5, 162.7, 158.3, 150.2, 145.6 (t, J = 27.3 Hz), 138.5, 129.4, 128.8, 127.0, 125.4, 122.6, 120.1, 117.8 (t, J = 5.9 Hz), 116.3 (t, J = 6.1 Hz), 55.6 (d, J = 39.3 Hz), 44.2 (t, J = 26.6 Hz). ¹⁹F NMR (376 MHz, CDCl₃) δ -94.89. HRMS (ESI, m/z) calculated for C₂₃H₂₃N₂O₃F₂⁺ : 413.1677, found : 413.1678.



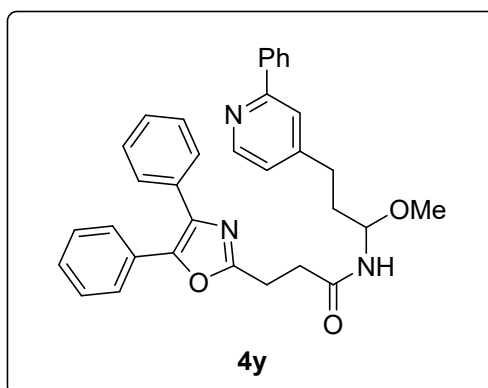
4-methoxy-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-N-methylbenzamide (4v) Yield : 38% (14.6 mg). White solid. With rotamer. ¹H NMR (500 MHz, C₂D₃N) δ 8.50 (d, J = 15.2 Hz, 1H), 8.02 (d, J = 8.3 Hz, 2H), 7.75 (s, 0.4H), 7.61 (s, 0.7H), 7.48 (t, J = 7.5 Hz, 2H), 7.43 (t, J = 7.2 Hz, 1.7H), 7.20 (s, 0.5H), 7.08 (d, J = 9.1 Hz, 2H), 6.95 (s, 0.8H), 6.67 (d, J = 8.3 Hz, 1.3H), 5.69 (s, 0.4H), 4.87 – 4.33 (m, 0.6H), 3.81 (s, 1.2H), 3.66 (s, 1.9H), 3.28 (s, 1.1H), 3.05 (s, 1.9H), 2.86 (s, 2H), 2.82 – 2.70 (m, 2.6H), 2.69 – 2.54 (m, 0.7H), 2.36 – 2.17 (m, 1.2H), 2.00 (s, 1H). ¹³C NMR (125 MHz, C₂D₃N) δ 172.5, 161.3, 157.8, 152.3, 152.0, 150.5, 140.3, 129.9, 129.7, 129.3, 127.7, 123.7, 121.6, 118.3, 114.5, 89.1, 84.7, 55.8, 55.3, 34.6, 33.4, 31.5, 26.2. HRMS (ESI, m/z) calculated for C₂₄H₂₇N₂O₃⁺ : 391.2022, found : 391.2023.



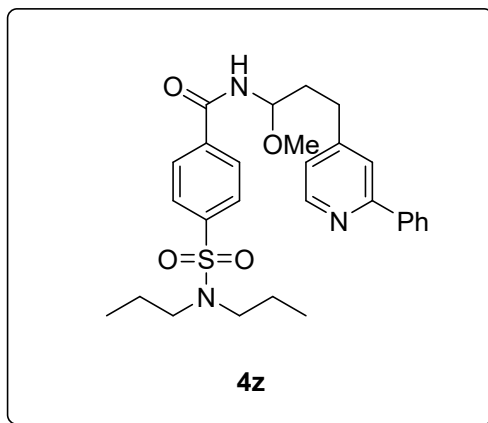
N-(1-hydroxy-3-(2-phenylpyridin-4-yl)propyl)-4-methoxybenzamide (4w). Yield : 50% (17.9 mg). White solid. ^1H NMR (500 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 8.61 (d, J = 8.3 Hz, 1H), 8.54 (d, J = 5.0 Hz, 1H), 8.08 (dd, J = 7.7, 1.7 Hz, 2H), 7.96 – 7.84 (m, 2H), 7.81 (s, 1H), 7.48 (dd, J = 8.3, 6.7 Hz, 2H), 7.42 (t, J = 7.2 Hz, 1H), 7.22 (dd, J = 4.9, 1.6 Hz, 1H), 7.11 – 6.93 (m, 2H), 5.82 (dd, J = 4.8, 2.2 Hz, 1H), 5.48 – 5.31 (m, 1H), 3.81 (s, 3H), 2.73 (dh, J = 12.4, 6.9 Hz, 2H), 2.02 (dtt, J = 9.9, 6.5, 3.3 Hz, 2H). ^{13}C NMR (125 MHz, $\text{C}_2\text{D}_6\text{SO}$) δ 165.3, 161.6, 156.0, 151.8, 149.4, 138.8, 129.3, 128.9, 128.6, 126.6, 126.5, 122.7, 120.4, 113.4, 72.5, 55.3, 36.4, 30.7. HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{23}\text{N}_2\text{O}_3^+$: 363.1709 , found : 363.1708. Due to its instability, hydrolyzed aldehyde containing pyridine detected majorly, calculated for calculated for $\text{C}_{14}\text{H}_{14}\text{NO}^+$: 212.1075 , found : 212.1075.



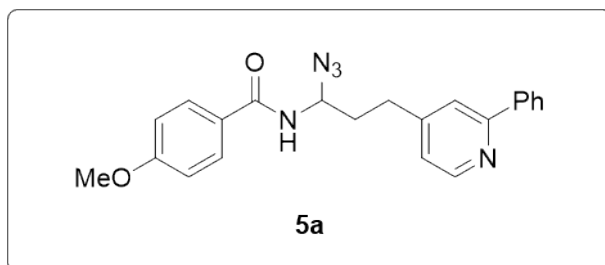
N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-2-(11-oxo-6,11-dihydrodibenzo[b,e]oxepin-2-yl)acetamide (4x). Yield : 67% (32.6 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 8.55 (d, J = 5.0 Hz, 1H), 8.11 (d, J = 2.4 Hz, 1H), 8.00 – 7.91 (m, 2H), 7.86 (dd, J = 7.8, 1.5 Hz, 1H), 7.55 (td, J = 7.5, 1.4 Hz, 1H), 7.53 – 7.49 (m, 1H), 7.49 – 7.33 (m, 6H), 7.07 – 6.92 (m, 2H), 5.80 (d, J = 9.6 Hz, 1H), 5.17 (s, 3H), 3.58 (d, J = 2.7 Hz, 2H), 3.30 (s, 3H), 2.70 (dddd, J = 30.1, 14.1, 9.6, 6.3 Hz, 2H), 1.95 (ddt, J = 13.2, 9.6, 6.6 Hz, 1H), 1.89 – 1.76 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 190.9, 171.2, 160.8, 157.8, 150.9, 149.8, 140.4, 139.5, 136.3, 135.6, 133.1, 132.5, 129.6, 129.5, 129.0, 128.8, 128.4, 128.0, 127.1, 125.4, 122.3, 121.8, 120.9, 80.9, 73.8, 56.1, 42.9, 36.3, 30.9. HRMS (ESI, m/z) calculated for $\text{C}_{31}\text{H}_{29}\text{N}_2\text{O}_4^+$: 493.2127 , found : 493.2129.



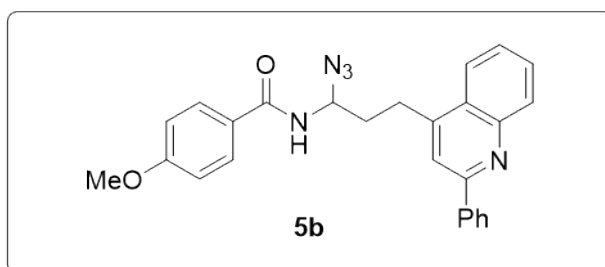
3-(4,5-diphenyloxazol-2-yl)-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)propanamide (4y). Yield : 37% (18.7 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 8.45 (d, J = 5.0 Hz, 1H), 8.07 – 7.67 (m, 2H), 7.53 – 7.43 (m, 4H), 7.42 – 7.30 (m, 5H), 7.25 – 7.19 (m, 4H), 6.88 (dd, J = 5.0, 1.7 Hz, 1H), 6.43 (d, J = 9.6 Hz, 1H), 5.12 (dt, J = 9.7, 6.3 Hz, 1H), 3.26 (s, 4H), 3.16 (t, J = 6.8 Hz, 2H), 2.74 (t, J = 6.9 Hz, 2H), 2.62 (dddd, J = 20.6, 18.9, 9.6, 4.4 Hz, 2H), 1.99 – 1.78 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 171.9, 162.3, 157.7, 151.0, 149.8, 145.7, 139.5, 135.0, 132.3, 129.0, 128.82, 128.80, 128.73, 128.7, 128.3, 127.9, 127.1, 126.6, 122.3, 120.9, 80.7, 56.0, 36.3, 33.2, 30.9, 24.0. HRMS (ESI, m/z) calculated for $\text{C}_{33}\text{H}_{32}\text{N}_3\text{O}_3^+$: 518.2444 , found : 518.2444



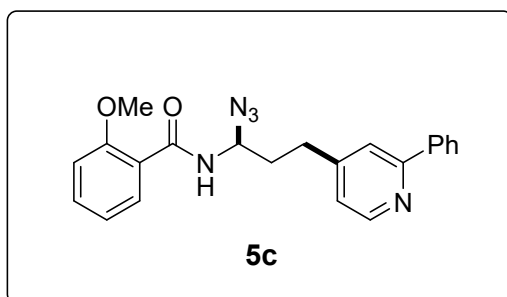
4-(N,N-dipropylsulfamoyl)-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4z). Yield : 43% (13.9 mg). Ivory. ^1H NMR (500 MHz, CDCl_3) δ 8.58 (d, J = 5.0 Hz, 1H), 7.99 – 7.93 (m, 2H), 7.83 (q, J = 8.5 Hz, 4H), 7.58 (s, 1H), 7.51 – 7.45 (m, 2H), 7.43 – 7.39 (m, 1H), 7.10 (dd, J = 5.0, 1.6 Hz, 1H), 6.31 (d, J = 9.5 Hz, 1H), 5.44 – 5.36 (m, 1H), 3.44 (s, 3H), 3.10 – 3.04 (m, 4H), 2.90 (ddd, J = 15.0, 9.2, 6.1 Hz, 1H), 2.85 – 2.76 (m, 1H), 2.20 – 2.12 (m, 1H), 2.12 (s, 1H), 1.60 – 1.48 (m, 4H), 0.87 (t, J = 7.4 Hz, 6H). ^{13}C NMR (125 MHz, CDCl_3) δ 166.2, 157.9, 150.9, 150.0, 143.6, 139.5, 137.2, 129.1, 128.9, 127.8, 127.5, 127.1, 122.4, 120.9, 81.7, 56.5, 50.1, 36.4, 31.0, 22.1, 11.3. HRMS (ESI, m/z) calculated for $\text{C}_{28}\text{H}_{36}\text{N}_3\text{O}_4\text{S}^+$: 510.2427, found : 510.2428



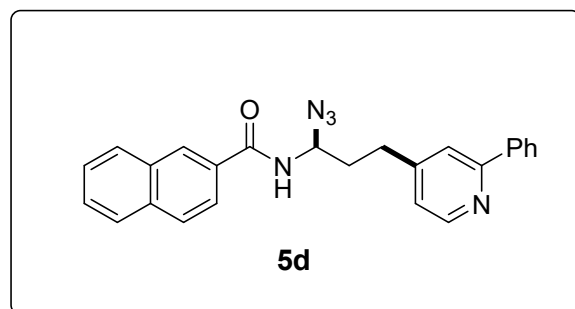
N-(1-azido-3-(2-phenylpyridin-4-yl)propyl)-4-methoxybenzamide (5a). Yield : 77% (29.6 mg). White solid. ^1H NMR (500 MHz, CDCl_3) δ 8.53 (d, J = 5.0 Hz, 1H), 8.09 – 7.76 (m, 2H), 7.73 (d, J = 8.8 Hz, 2H), 7.49 (d, J = 1.6 Hz, 1H), 7.45 – 7.31 (m, 3H), 7.23 (d, J = 9.0 Hz, 1H), 6.99 (dd, J = 5.1, 1.6 Hz, 1H), 6.83 (d, J = 8.9 Hz, 2H), 5.72 (dt, J = 9.1, 6.9 Hz, 1H), 3.77 (s, 3H), 2.74 (ddt, J = 45.7, 14.7, 7.4 Hz, 2H), 1.99 (dtt, J = 13.5, 8.4, 4.1 Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 167.4, 162.8, 157.8, 150.3, 149.8, 139.2, 129.3, 129.1, 128.8, 127.0, 125.1, 122.3, 120.8, 113.9, 66.8, 55.5, 35.0, 31.1. HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{22}\text{N}_5\text{O}_2^+$: 388.1773, found : 388.1772.



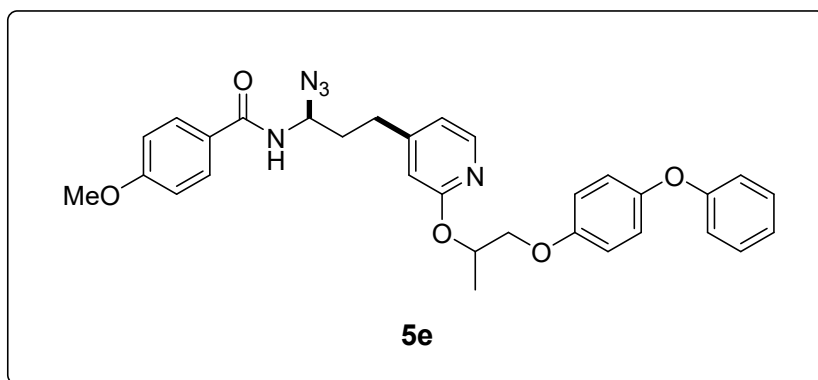
N-(1-azido-3-(2-phenylquinolin-4-yl)propyl)-4-methoxybenzamide (5b). Yield : 73% (31.5 mg). White solid. ^1H NMR (400 MHz, CD_2Cl_2) δ 8.22 – 8.08 (m, 2H), 8.08 – 7.90 (m, 1H), 7.76 (s, 1H), 7.76 – 7.61 (m, 2H), 7.62 – 7.30 (m, 2H), 6.99 – 6.79 (m, 1H), 6.57 (d, J = 9.0 Hz, 1H), 5.83 (dt, J = 9.1, 6.9 Hz, 1H), 3.82 (s, 2H), 3.51 – 3.00 (m, 1H), 2.19 (dtd, J = 8.9, 6.7, 2.1 Hz, 1H). ^{13}C NMR (100 MHz, CD_2Cl_2) δ 167.1, 163.3, 157.1, 149.0, 147.3, 139.8, 130.9, 129.9, 129.7, 129.4, 129.1, 127.8, 126.8, 126.5, 125.6, 123.6, 119.1, 114.2, 67.5, 55.9, 35.3, 28.6. HRMS (ESI, m/z) calculated for $\text{C}_{26}\text{H}_{24}\text{N}_5\text{O}_2^+$: 438.1930, found : 438.1931.



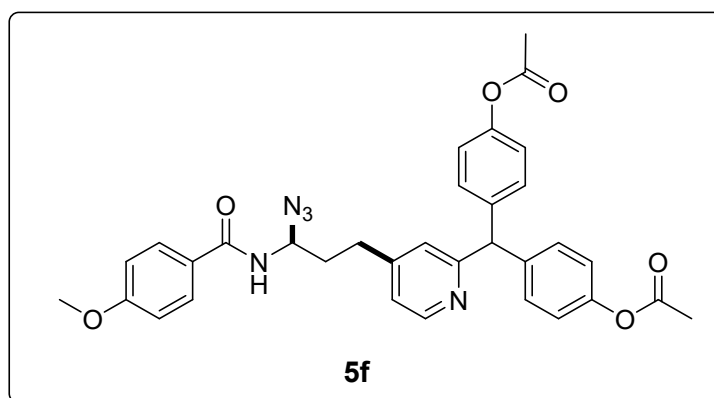
N-(1-azido-3-(2-phenylpyridin-4-yl)propyl)-2-methoxybenzamide (5c). Yield : 44% (16.7 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.58 (d, $J = 5.0$ Hz, 1H), 8.31 (d, $J = 8.9$ Hz, 1H), 8.21 (dd, $J = 7.8, 1.9$ Hz, 1H), 8.03 – 7.74 (m, 2H), 7.55 (d, $J = 1.6$ Hz, 1H), 7.53 – 7.35 (m, 4H), 7.21 – 7.05 (m, 2H), 6.98 (d, $J = 8.3$ Hz, 1H), 5.83 (dt, $J = 8.8, 6.8$ Hz, 1H), 3.94 (s, 3H), 2.84 (dddd, $J = 29.8, 14.1, 9.0, 6.5$ Hz, 2H), 2.08 (ddt, $J = 10.6, 9.2, 6.7$ Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 165.5, 157.8, 157.6, 150.1, 149.8, 139.2, 133.7, 132.6, 128.9, 128.7, 126.9, 122.2, 121.6, 120.7, 120.1, 111.4, 66.4, 56.1, 35.5, 31.1. HRMS (ESI, m/z) calculated for $\text{C}_{22}\text{H}_{22}\text{N}_5\text{O}_2^+$: 388.1773 , found : 388.1772



N-(1-azido-3-(2-phenylpyridin-4-yl)propyl)-2-naphthamide (5d). Yield : 67% (27.6 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.65 – 8.55 (m, 1H), 8.21 (s, 1H), 7.96 (d, $J = 7.6$ Hz, 2H), 7.88 (d, $J = 8.2$ Hz, 3H), 7.77 (d, $J = 8.5$ Hz, 1H), 7.62 – 7.51 (m, 3H), 7.48 – 7.42 (m, 2H), 7.42 – 7.37 (m, 1H), 7.09 (d, $J = 4.9$ Hz, 1H), 6.77 (d, $J = 9.3$ Hz, 1H), 5.86 (q, $J = 7.6$ Hz, 1H), 2.93 (dt, $J = 15.3, 7.7$ Hz, 1H), 2.83 (dt, $J = 14.9, 7.6$ Hz, 1H), 2.14 (q, $J = 7.4, 7.0$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.5, 158.0, 150.0, 149.9, 139.1, 135.1, 132.4, 130.0, 129.0, 128.9, 128.7, 128.1, 127.9, 127.8, 127.0, 126.9, 123.3, 122.2, 120.7, 66.8, 35.2, 31.0. HRMS (ESI, m/z) calculated for $\text{C}_{25}\text{H}_{22}\text{N}_5\text{O}^+$: 408.1824 , found : 408.1823

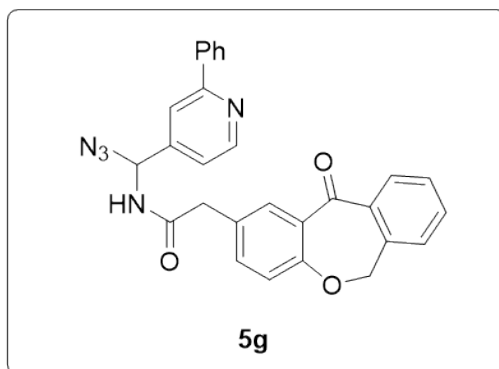


N-(1-azido-3-(2-((1-(4-phenoxyphenoxy)propan-2-yl)oxy)pyridin-4-yl)propyl)-4-methoxybenzamide (5e). Yield : 42% (23.6 mg). Yellow oil. ^1H NMR (500 MHz, CDCl_3) δ 8.06 (d, $J = 5.3$ Hz, 1H), 7.68 (dd, $J = 8.9, 2.6$ Hz, 2H), 7.31 – 7.27 (m, 2H), 7.03 (t, $J = 7.4$ Hz, 1H), 6.98 – 6.89 (m, 8H), 6.72 (d, $J = 5.0$ Hz, 1H), 6.59 (s, 1H), 6.38 (d, $J = 9.0$ Hz, 1H), 5.74 (q, $J = 7.2$ Hz, 1H), 5.56 (q, $J = 5.8$ Hz, 1H), 4.16 (dt, $J = 10.7, 5.5$ Hz, 1H), 4.05 (dt, $J = 9.9, 5.0$ Hz, 1H), 3.85 (s, 3H), 2.73 (ddt, $J = 46.7, 14.7, 7.7$ Hz, 2H), 2.02 (qd, $J = 7.8, 7.2, 3.0$ Hz, 2H), 1.46 (t, $J = 6.1$ Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 166.8, 163.7, 162.8, 158.5, 155.2, 152.2, 150.2, 147.0, 129.6, 129.0, 125.1, 122.4, 120.7, 117.6, 117.3, 115.8, 113.9, 111.0, 71.0, 69.4, 66.6, 55.5, 35.0, 30.6, 17.0. HRMS (ESI, m/z) calculated for $\text{C}_{31}\text{H}_{32}\text{N}_5\text{O}_5^+$: 554.2403 , found : 554.2404

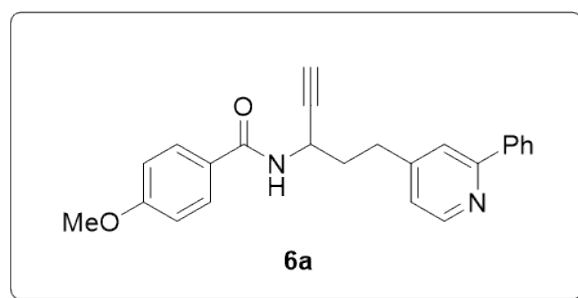


((4-(3-azido-3-(4-methoxybenzamido)propyl)pyridin-2-yl)methylene)bis(4,1-phenylene) diacetate (5f). Yield : 60% (35.6 mg). Yellow oil. ^1H NMR (500 MHz, CDCl_3) δ 8.47 (d, $J = 5.0$ Hz, 1H), 7.70 (d, $J = 8.8$ Hz, 2H), 7.20 – 7.08 (m, 4H), 7.07 – 6.94 (m, 5H), 6.89 (dt, $J = 7.0, 1.7$ Hz, 3H), 6.68 (q, $J = 7.5$ Hz, 1H), 5.69 (dt, $J = 9.1, 6.9$ Hz, 1H), 5.60 (s, 1H), 3.84 (s, 3H), 2.73 (td, $J = 9.2, 8.6, 4.4$ Hz, 1H), 2.65 (dq, $J = 14.7, 7.9, 7.4$ Hz, 1H), 2.27 (s, 6H), 2.03 – 1.85 (m, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 169.5,

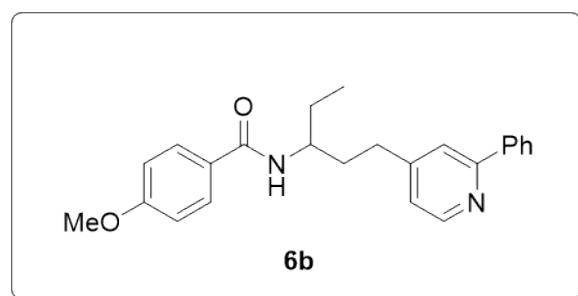
166.9, 162.9, 162.8, 150.0, 149.7, 149.3, 139.9, 139.8, 130.2, 129.1, 125.1, 123.7, 121.7, 121.5, 113.9, 66.6, 57.9, 55.4, 34.9, 30.8, 21.1. HRMS (ESI, m/z) calculated for $C_{33}H_{32}N_5O_6^+$: 594.2353, found: 594.2354



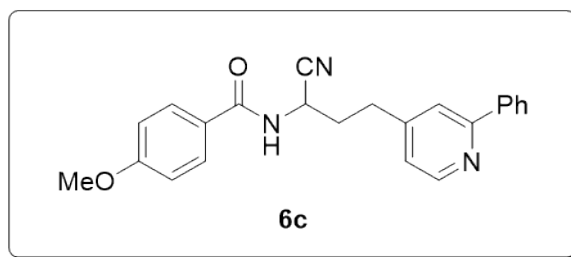
N-(azido(2-phenylpyridin-4-yl)methyl)-2-(11-oxo-6,11-dihydrodibenzo[b,e]oxepin-2-yl)acetamide (5g). Yield: 60% (30.1 mg). White solid. 1H NMR (400 MHz, $CDCl_3$) δ 8.56 (d, J = 5.0 Hz, 1H), 8.11 (d, J = 2.4 Hz, 1H), 7.99 – 7.86 (m, 2H), 7.84 (d, J = 7.7 Hz, 1H), 7.55 (t, J = 7.5 Hz, 1H), 7.50 – 7.32 (m, 7H), 7.05 (d, J = 8.5 Hz, 1H), 6.99 (d, J = 5.0 Hz, 1H), 6.23 (d, J = 9.6 Hz, 1H), 5.55 (dt, J = 8.9, 6.9 Hz, 1H), 5.16 (s, 2H), 3.61 (s, 2H), 2.70 (dq, J = 20.8, 14.5, 7.4 Hz, 2H), 1.98 – 1.80 (m, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 191.0, 171.2, 160.9, 158.0, 150.0, 140.4, 139.3, 136.4, 135.6, 133.1, 132.5, 129.6, 129.5, 129.1, 128.9, 128.1, 128.0, 127.1, 125.4, 122.2, 121.9, 120.8, 73.7, 66.2, 42.5, 35.2, 31.1. HRMS (ESI, m/z) calculated for $C_{30}H_{26}N_5O_3^+$: 504.2036, found: 504.2036.



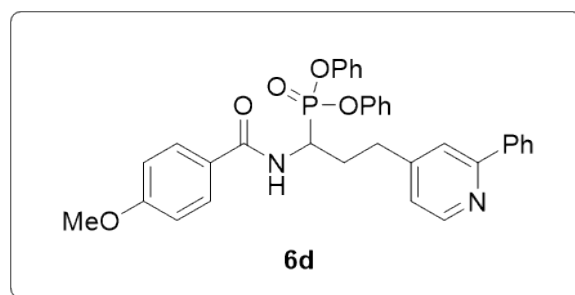
4-methoxy-N-(5-(2-phenylpyridin-4-yl)pent-1-yn-3-yl)benzamide (6a). Yield: 89% (33.1 mg). Colorless oil. 1H NMR (500 MHz, $CDCl_3$) δ 8.56 (d, J = 5.0 Hz, 1H), 8.06 – 7.80 (m, 2H), 7.70 (d, J = 8.9 Hz, 2H), 7.57 (s, 1H), 7.44 (td, J = 7.4, 6.3, 1.5 Hz, 2H), 7.41 – 7.33 (m, 1H), 7.08 (dd, J = 5.0, 1.6 Hz, 1H), 6.87 (d, J = 8.8 Hz, 2H), 6.46 (d, J = 8.1 Hz, 1H), 5.03 (tdd, J = 8.2, 6.1, 2.3 Hz, 1H), 3.81 (d, J = 1.2 Hz, 3H), 3.01 – 2.74 (m, 2H), 2.40 (d, J = 2.3 Hz, 1H), 2.26 – 2.05 (m, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 166.1, 162.5, 157.8, 150.8, 149.8, 139.5, 129.01, 128.96, 128.8, 127.1, 125.9, 122.4, 120.9, 113.9, 82.7, 72.5, 55.5, 41.7, 36.3, 31.7. HRMS (ESI, m/z) calculated for $C_{24}H_{23}N_2O_2^+$: 371.1761, found: 371.1760.



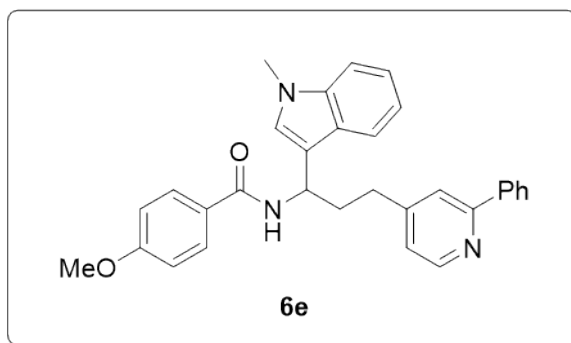
4-methoxy-N-(1-(2-phenylpyridin-4-yl)pentan-3-yl)benzamide (6b). Yield: 81% (30.4 mg). Colorless oil. 1H NMR (400 MHz, $CDCl_3$) δ 8.53 (d, J = 5.1 Hz, 1H), 7.96 – 7.82 (m, 2H), 7.79 – 7.62 (m, 2H), 7.53 (s, 1H), 7.47 – 7.32 (m, 3H), 7.05 (dd, J = 5.0, 1.7 Hz, 1H), 6.98 – 6.77 (m, 2H), 5.95 (d, J = 9.1 Hz, 1H), 4.17 (qt, J = 8.9, 4.9 Hz, 1H), 3.81 (s, 3H), 2.74 (t, J = 8.0 Hz, 2H), 1.95 (ddd, J = 12.9, 9.3, 5.0 Hz, 1H), 1.81 (dq, J = 13.8, 8.1 Hz, 1H), 1.67 (dq, J = 14.8, 7.4, 5.3 Hz, 1H), 1.59 – 1.42 (m, 1H), 0.96 (t, J = 7.4 Hz, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 167.0, 162.2, 157.6, 151.9, 149.7, 139.5, 128.9, 128.8, 128.7, 127.1, 127.0, 122.4, 120.9, 113.8, 55.5, 51.0, 35.9, 32.3, 28.4, 10.5. HRMS (ESI, m/z) calculated for $C_{24}H_{27}N_2O_2^+$: 375.2073, found: 375.2074



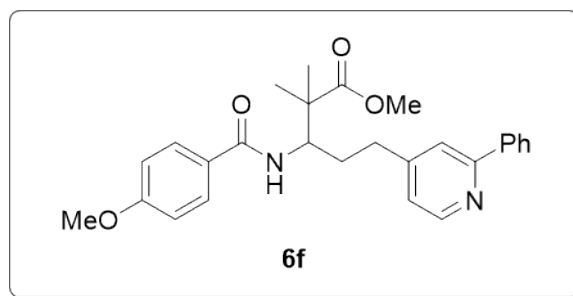
N-(1-cyano-3-(2-phenylpyridin-4-yl)propyl)-4-methoxybenzamide (6c). Yield : 44% (16.2 mg). White solid. ^1H NMR (500 MHz, CDCl_3) δ 8.60 (dd, $J = 5.0, 0.8$ Hz, 1H), 8.02 – 7.87 (m, 2H), 7.76 – 7.62 (m, 2H), 7.63 – 7.54 (m, 1H), 7.52 – 7.43 (m, 2H), 7.43 – 7.33 (m, 1H), 7.08 (dd, $J = 5.0, 1.6$ Hz, 1H), 6.99 – 6.83 (m, 2H), 6.61 (d, $J = 8.5$ Hz, 1H), 5.17 (dt, $J = 8.6, 7.3$ Hz, 1H), 3.83 (s, 3H), 3.47 – 2.80 (m, 2H), 2.29 (q, $J = 7.4$ Hz, 2H). ^{13}C NMR (125 MHz, CDCl_3) δ 166.2, 163.0, 158.1, 150.1, 149.1, 139.1, 129.2, 129.1, 128.8, 127.0, 124.5, 122.1, 120.7, 118.4, 114.0, 55.5, 40.6, 33.9, 31.3. HRMS (ESI, m/z) calculated for $\text{C}_{23}\text{H}_{22}\text{N}_3\text{O}_2^+$: 372.1712, found : 372.1713



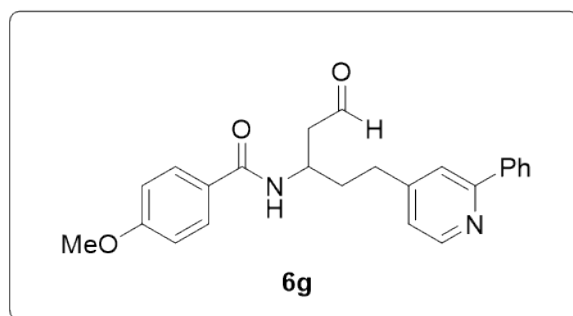
diphenyl (1-(4-methoxybenzamido)-3-(2-phenylpyridin-4-yl)propyl)phosphonate (6d). Yield : 74% (42.5 mg). Colorless oil. ^1H NMR (400 MHz, CDCl_3) δ 8.50 (dd, $J = 5.0, 2.1$ Hz, 1H), 8.04 – 7.83 (m, 2H), 7.80 – 7.70 (m, 2H), 7.53 – 7.35 (m, 5H), 7.30 (t, $J = 7.8$ Hz, 2H), 7.17 (d, $J = 8.0$ Hz, 3H), 7.13 – 7.05 (m, 3H), 7.05 – 6.99 (m, 4H), 6.94 (dt, $J = 5.6, 2.8$ Hz, 1H), 6.84 – 6.69 (m, 2H), 3.78 (s, 2H), 2.81 (tdd, $J = 11.0, 7.6, 4.5$ Hz, 2H), 2.42 – 2.17 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 167.1, 162.6, 157.7, 150.5, 150.45, 150.39, 150.1, 150.0, 149.8, 139.4, 130.1, 129.7, 129.33, 129.31, 129.0, 128.8, 127.1, 125.7, 125.4, 122.3, 120.9, 120.63, 120.58, 120.52, 120.48, 113.7, 55.5, 46.9, 45.4, 31.9, 31.7, 30.7. ^{31}P NMR (162 MHz, CDCl_3) δ 17.0. HRMS (ESI, m/z) calculated for $\text{C}_{34}\text{H}_{32}\text{N}_2\text{O}_5\text{P}^+$: 579.2049, found : 579.2063, $\text{C}_{34}\text{H}_{31}\text{N}_2\text{O}_5\text{NaP}^+$: 601.1868, found : 601.1880.



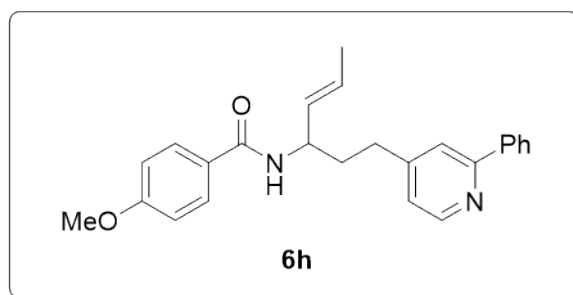
4-methoxy-N-(1-(1-methyl-1H-indol-3-yl)-3-(2-phenylpyridin-4-yl)propyl)benzamide (6e). Yield : 63% (29.9 mg). White solid. ^1H NMR (500 MHz, CD_2Cl_2) δ 8.53 (d, $J = 5.0$ Hz, 1H), 8.06 – 7.89 (m, 2H), 7.75 – 7.65 (m, 3H), 7.62 (d, $J = 1.5$ Hz, 1H), 7.46 (dd, $J = 8.3, 6.6$ Hz, 2H), 7.42 – 7.37 (m, 1H), 7.33 (d, $J = 8.1$ Hz, 1H), 7.23 (ddd, $J = 8.2, 7.0, 1.2$ Hz, 1H), 7.12 (dd, $J = 5.1, 1.6$ Hz, 1H), 7.11 – 7.04 (m, 2H), 6.92 – 6.85 (m, 2H), 6.34 (d, $J = 8.2$ Hz, 1H), 5.57 (q, $J = 7.5$ Hz, 1H), 3.81 (s, 3H), 3.76 (s, 3H), 3.07 – 2.72 (m, 2H), 2.48 (dddd, $J = 18.5, 13.4, 9.1, 6.5$ Hz, 2H). ^{13}C NMR (125 MHz, CD_2Cl_2) δ 166.3, 162.5, 157.5, 152.1, 149.9, 137.8, 129.1, 128.99, 128.96, 127.4, 127.2, 126.95, 126.90, 122.8, 122.3, 121.0, 119.7, 119.6, 115.5, 114.0, 109.9, 55.8, 54.3, 54.1, 53.8, 53.6, 53.4, 46.9, 36.1, 33.1, 32.9. HRMS (ESI, m/z) calculated for $\text{C}_{31}\text{H}_{30}\text{N}_3\text{O}_2^+$: 476.2338, found : 476.2339.



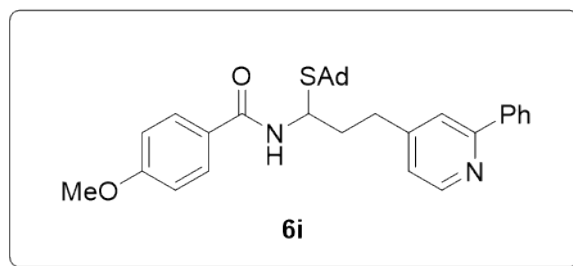
methyl 3-(4-methoxybenzamido)-2,2-dimethyl-5-(2-phenylpyridin-4-yl)pentanoate (6f). Yield : 60% (26.7 mg). Colorless oil. ^1H NMR (δ 8.54 (d, J = 5.0 Hz, 1H), 8.07 – 7.82 (m, 2H), 7.77 (d, J = 8.8 Hz, 2H), 7.53 (s, 1H), 7.45 (t, J = 7.3 Hz, 2H), 7.41 – 7.34 (m, 1H), 7.07 (dd, J = 5.2, 1.6 Hz, 1H), 7.03 (d, J = 10.1 Hz, 1H), 6.93 (d, J = 8.8 Hz, 2H), 4.27 (td, J = 10.8, 2.5 Hz, 1H), 3.84 (s, 3H), 3.71 (s, 3H), 2.94 – 2.55 (m, 2H), 2.05 (dddd, J = 13.5, 9.2, 6.8, 2.6 Hz, 1H), 1.72 (dddd, J = 13.5, 11.3, 9.0, 6.4 Hz, 1H), 1.28 (d, J = 2.0 Hz, 6H). ^{13}C NMR (100 MHz, CDCl_3) δ 177.9, 167.0, 162.4, 157.6, 151.7, 149.7, 139.6, 128.9, 128.8, 128.8, 127.1, 126.6, 122.4, 121.0, 114.0, 56.1, 55.6, 52.2, 46.4, 32.8, 32.5, 24.7, 23.3. HRMS (ESI, m/z) calculated for $\text{C}_{27}\text{H}_{31}\text{N}_2\text{O}_4^+$: 447.2284, found : 447.2284.



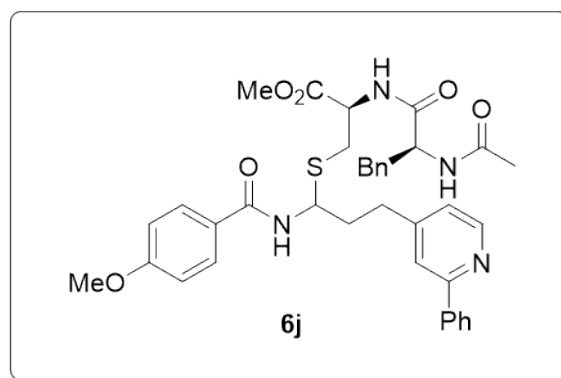
4-methoxy-N-(1-oxo-5-(2-phenylpyridin-4-yl)pentan-3-yl)benzamide (6g). Yield : 50% (19.5 mg). White solid. ^1H NMR (400 MHz, CDCl_3) δ 9.79 (s, 1H), 8.55 (d, J = 5.0 Hz, 1H), 7.94 (dd, J = 7.4, 2.0 Hz, 2H), 7.67 (d, J = 8.5 Hz, 2H), 7.54 (s, 1H), 7.48 – 7.34 (m, 3H), 7.06 (dd, J = 5.1, 1.9 Hz, 1H), 6.96 – 6.75 (m, 2H), 6.57 (q, J = 7.8, 6.9 Hz, 1H), 4.59 (tq, J = 9.8, 5.1 Hz, 1H), 3.82 (s, 3H), 2.96 – 2.57 (m, 4H), 2.25 – 2.07 (m, 1H), 2.05 – 1.87 (m, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 201.4, 166.7, 162.5, 157.8, 151.1, 149.8, 139.4, 129.0, 128.8, 127.1, 126.3, 122.4, 120.9, 113.9, 55.5, 48.4, 45.5, 35.2, 32.5. HRMS (ESI, m/z) calculated for $\text{C}_{24}\text{H}_{25}\text{N}_2\text{O}_3^+$: 389.1865, found : 389.1869



(E)-4-methoxy-N-(1-(2-phenylpyridin-4-yl)hex-4-en-3-yl)benzamide (6h). Yield : 41% (22.4 mg). Colorless oil. ^1H NMR (500 MHz, CDCl_3) δ 8.58 (d, J = 5.0 Hz, 1H), 8.21 – 7.87 (m, 2H), 7.72 (d, J = 8.7 Hz, 2H), 7.58 (s, 1H), 7.48 (ddd, J = 7.8, 6.5, 1.5 Hz, 2H), 7.42 (td, J = 7.2, 1.4 Hz, 1H), 7.11 (dd, J = 5.1, 1.7 Hz, 1H), 6.95 – 6.78 (m, 2H), 5.97 (d, J = 8.4 Hz, 1H), 5.84 – 5.67 (m, 1H), 5.52 (ddt, J = 15.3, 6.6, 1.7 Hz, 1H), 4.72 (p, J = 7.2 Hz, 1H), 3.86 (d, J = 1.4 Hz, 3H), 2.92 – 2.68 (m, 2H), 2.17 – 1.87 (m, 2H), 1.75 (dd, J = 6.5, 1.4 Hz, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 166.4, 162.4, 157.7, 151.6, 149.8, 139.6, 130.8, 129.0, 128.8, 128.8, 127.8, 127.1, 126.9, 122.4, 120.9, 113.9, 55.6, 51.4, 36.1, 32.2, 18.0. HRMS (ESI, m/z) calculated for $\text{C}_{25}\text{H}_{27}\text{N}_2\text{O}_2^+$: 387.2073, found : 387.2073.

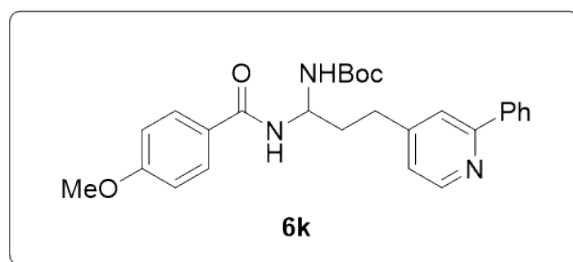


N-(1-(((3s,5s,7s)-adamantan-1-ylthio)-3-(2-phenylpyridin-4-yl)propyl)-4-methoxybenzamide (6i). Yield : 89% (45.5 mg). White solid. ^1H NMR (500 MHz, CDCl_3) ^1H NMR (400 MHz, CDCl_3) δ 8.56 (dd, $J = 5.1, 0.7$ Hz, 1H), 8.05 – 7.83 (m, 2H), 7.69 (d, $J = 8.8$ Hz, 2H), 7.58 (d, $J = 1.7$ Hz, 1H), 7.47 – 7.42 (m, 2H), 7.41 – 7.32 (m, 1H), 7.10 (dd, $J = 5.0, 1.7$ Hz, 1H), 7.00 – 6.82 (m, 2H), 6.31 (d, $J = 8.4$ Hz, 1H), 5.43 (ddd, $J = 8.5, 7.4, 6.2$ Hz, 1H), 3.83 (s, 3H), 2.92 (ddd, $J = 14.0, 10.1, 5.6$ Hz, 1H), 2.81 (ddd, $J = 14.0, 10.1, 6.0$ Hz, 1H), 2.20 (ddt, $J = 13.8, 10.2, 6.1$ Hz, 1H), 2.08 (dtd, $J = 10.1, 7.6, 3.7$ Hz, 1H), 1.99 (p, $J = 3.1$ Hz, 3H), 1.94 (dt, $J = 11.9, 2.8$ Hz, 3H), 1.87 (dt, $J = 11.9, 2.9$ Hz, 3H), 1.65 (d, $J = 3.0$ Hz, 6H) ^{13}C NMR (125 MHz, CDCl_3) δ 165.2, 162.5, 157.6, 151.1, 149.7, 139.5, 128.9, 128.8, 127.1, 126.3, 122.4, 121.0, 114.0, 55.5, 50.9, 46.9, 44.0, 38.0, 36.1, 32.3, 29.8. HRMS (ESI, m/z) calculated for $\text{C}_{32}\text{H}_{37}\text{N}_2\text{O}_2\text{S}^+$: 513.2576, found : 513.2576.



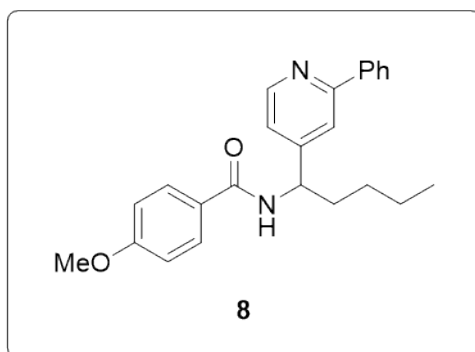
methyl N-(acetyl-L-phenylalanyl)-S-(1-(4-methoxybenzamido)-3-(2-phenylpyridin-4-yl)propyl)-L-cysteinate (6j). Yield : 81% (54.1 mg). White solid. 2 dr mixture with 1 rotamer ^1H NMR (500 MHz, CD_2Cl_2) δ 8.56 (t, $J = 5.1$ Hz, 1H), 8.01 (ddq, $J = 6.5, 3.1, 1.7$ Hz, 2H), 7.93 – 7.85 (m, 0.5H), 7.85 – 7.75 (m, 2H), 7.70 – 7.59 (m, 1.2H), 7.55 – 7.39 (m, 3H), 7.38 – 7.23 (m, 4H), 7.21 (dd, $J = 6.9, 1.7$ Hz, 0.5H), 7.17 (dd, $J = 6.9, 1.7$ Hz, 0.7H), 7.13 (qd, $J = 5.2, 1.6$ Hz, 1H), 7.10 – 7.04 (m, 0.2H), 6.97 (t, $J = 8.5$ Hz, 2.4H), 6.89 (dd, $J = 7.3, 3.0$ Hz, 0.3H), 6.83 (dd, $J = 9.3, 5.3$ Hz, 0.5H), 6.55 (dd, $J = 8.1, 4.1$ Hz, 0.3H), 6.42 (dd, $J = 8.4, 3.5$ Hz, 0.5H), 6.19 (d, $J = 8.2$ Hz, 0.2H), 5.49 (dddd, $J = 23.7, 11.1, 8.7, 6.4$ Hz, 1H), 4.91 – 4.80 (m, 1H), 4.75 (ddt, $J = 9.9, 6.6, 2.9$ Hz, 0.5H), 4.70 (dd, $J = 7.7, 5.9$ Hz, 0.2H), 4.61 (q, $J = 7.4$ Hz, 0.3H), 3.91 – 3.84 (m, 3H), 3.70 (t, $J = 2.1$ Hz, 3H), 3.28 (dd, $J = 14.0, 5.7$ Hz, 0.5H), 3.21 – 3.10 (m, 0.9H), 3.09 – 2.96 (m, 2.1H), 2.90 (dddd, $J = 27.9, 13.7, 6.1, 3.8$ Hz, 2.8H), 2.21 (dddd, $J = 15.8, 13.5, 9.3, 6.2$ Hz, 2H), 2.08 – 1.90 (m, 3H). ^{13}C NMR (125 MHz, CDCl_3) δ 171.7, 171.25, 171.18, 170.9, 170.8, 170.7, 170.6, 170.3, 167.3, 167.03, 167.00, 162.92, 162.89, 162.8, 157.85, 157.82, 150.8, 150.72, 150.67, 149.89, 149.85, 139.4, 139.3, 136.7, 136.5, 129.5, 129.42, 129.35, 129.3, 129.2, 129.11, 129.09, 129.07, 128.83, 128.80, 128.7, 127.2, 127.11, 127.09, 127.0, 125.6, 125.5, 125.3, 122.37, 122.35, 120.9, 114.1, 114.0, 113.9, 55.8, 55.605, 55.596, 55.56, 55.3, 54.9, 54.6, 54.3, 53.7, 53.0, 52.9, 52.84, 52.81, 38.2, 37.8, 37.6, 37.0, 36.7, 36.6, 32.7, 32.5, 32.4, 32.2, 32.1, 23.4, 23.27, 23.25. HRMS (ESI, m/z) calculated for $\text{C}_{37}\text{H}_{41}\text{N}_4\text{O}_6\text{S}^+$: 669.2747, found : 669.2749, calculated for $\text{C}_{37}\text{H}_{41}\text{N}_4\text{O}_6\text{NaS}^+$: 691.2566, found : 691.2565.

EXSY analysis (500 MHz, $\text{C}_2\text{H}_6\text{SO}$) established the presence of rotamers in the sample. Variable-temperature NMR experiments were conducted up to 80 $^\circ\text{C}$; however, coalescence of the rotamer signals was not observed in the ^1H NMR spectrum, and further heating was avoided due to concern about sample decomposition. Because a reliable dr value could not be determined, the relative integrals of the three peaks in sample corresponding to the diastereomers/rotamers are reported instead (0.3:0.5:0.2).



tert-butyl (1-(4-methoxybenzamido)-3-(2-phenylpyridin-4-yl)propyl)carbamate (6k). Yield : 78% (35.8 mg). White solid. ^1H

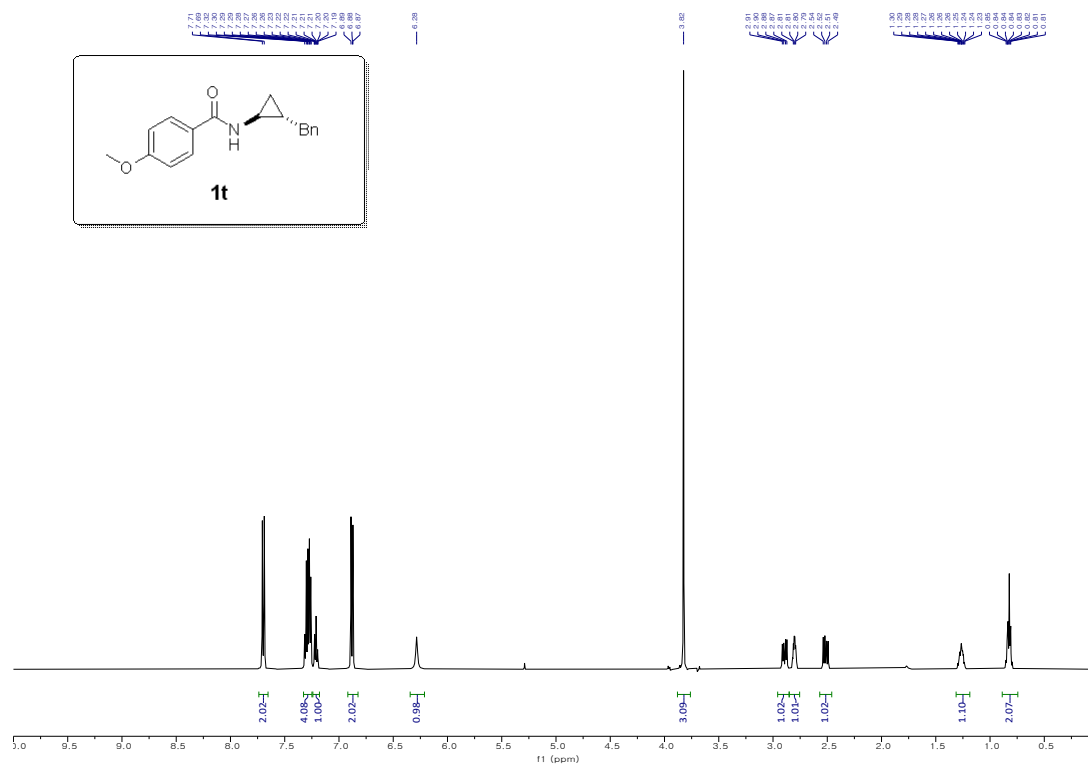
NMR (500 MHz, CDCl₃) δ 8.53 (d, J = 5.1 Hz, 1H), 8.12 – 7.86 (m, 2H), 7.72 (d, J = 8.7 Hz, 2H), 7.52 (s, 1H), 7.43 (dd, J = 8.3, 6.6 Hz, 2H), 7.38 (t, J = 7.2 Hz, 1H), 7.08 – 7.00 (m, 1H), 6.86 (d, J = 8.5 Hz, 2H), 5.98 (s, 1H), 5.20 (s, 1H), 3.80 (s, 3H), 2.73 (hept, J = 7.6, 7.2 Hz, 2H), 2.39 (s, 2H), 2.07 (s, 1H), 1.41 (s, 9H). ¹³C NMR (125 Hz, CDCl₃) δ 167.0, 162.6, 157.7, 155.6, 150.9, 149.8, 139.5, 129.0, 129.0, 128.8, 127.1, 126.2, 122.4, 120.9, 113.9, 80.3, 59.2, 55.5, 34.6, 32.1, 28.4. HRMS (ESI, m/z) calculated for C₂₇H₃₂N₃O₄⁺ : 462.2393, found : 462.2395.



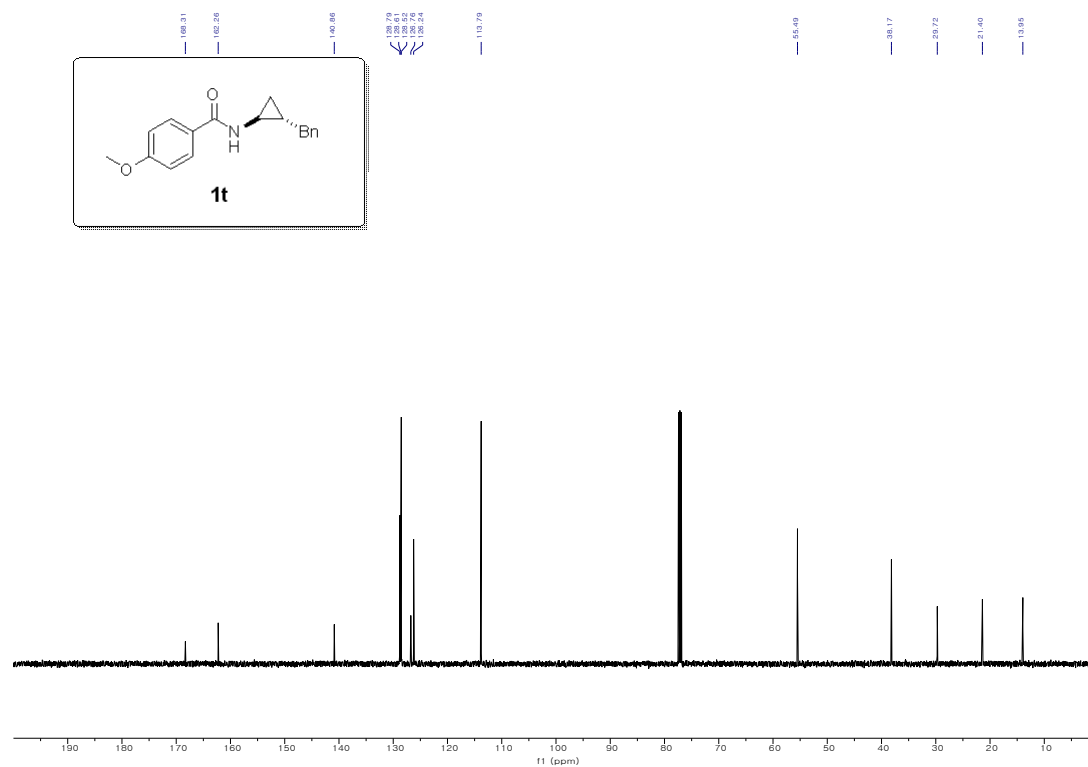
4-methoxy-N-(1-(2-phenylpyridin-4-yl)pentyl)benzamide (8). Yield : 12% (17.4 mg). White solid. ¹H NMR (500 MHz, CDCl₃) δ 8.63 (d, J = 5.1 Hz, 1H), 8.11 – 7.87 (m, 2H), 7.77 (s, 1H), 7.67 (d, J = 1.6 Hz, 1H), 7.46 (t, J = 7.4 Hz, 2H), 7.44 – 7.34 (m, 1H), 7.19 (dd, J = 5.1, 1.6 Hz, 1H), 7.09 – 6.77 (m, 2H), 6.39 (d, J = 7.8 Hz, 1H), 5.17 (q, J = 7.5 Hz, 1H), 3.84 (s, 3H), 1.90 (qt, J = 11.6, 5.5 Hz, 2H), 1.39 (dddt, J = 19.5, 14.0, 10.9, 5.0 Hz, 5H), 0.90 (t, J = 6.8 Hz, 3H). ¹³C NMR (125 MHz, CDCl₃) δ 166.6, 162.5, 158.1, 152.6, 150.1, 139.5, 129.1, 128.9, 128.8, 127.2, 126.5, 120.1, 118.9, 114.0, 55.6, 53.4, 35.8, 28.4, 22.6, 14.1. HRMS (ESI, m/z) calculated for C₂₄H₂₇N₂O₂⁺ : 375.2073, found : 375.2072.

IX. Spectral Copies of ^1H -, ^{13}C -, ^{19}F -, ^{31}P - NMR Data

N-(trans-2-benzylcyclopropyl)-4-methoxybenzamide (1t).

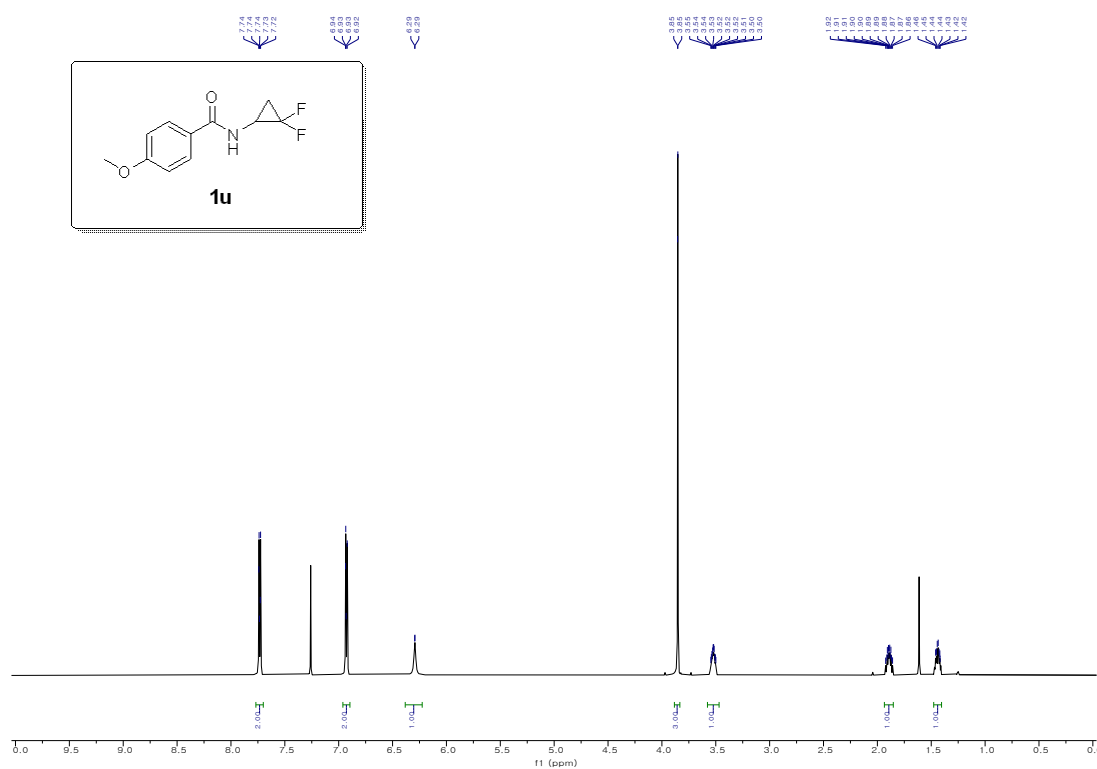


500 MHz, ^1H NMR in CDCl_3

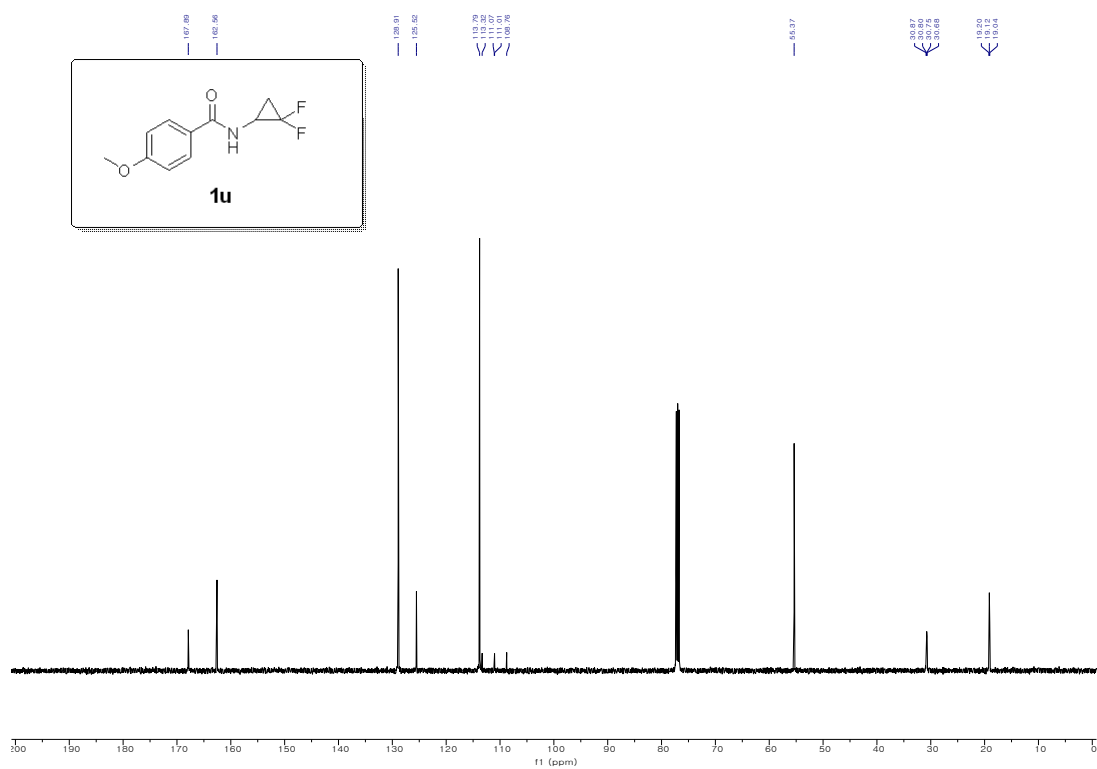


125 MHz, ^{13}C NMR in CDCl_3

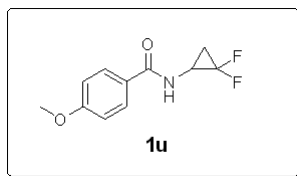
N-(2,2-difluorocyclopropyl)-4-methoxybenzamide (1u).



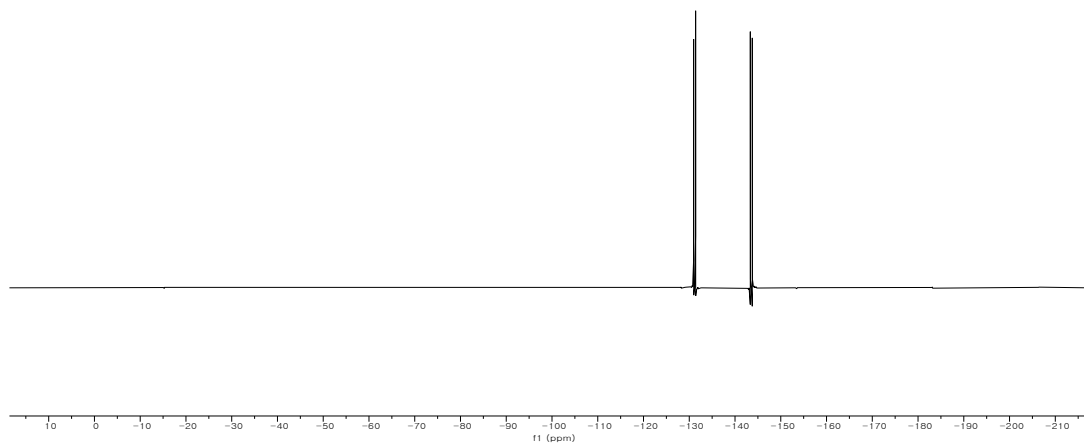
600 MHz, ¹H NMR in CDCl₃



125 MHz, ¹³C NMR in CDCl₃

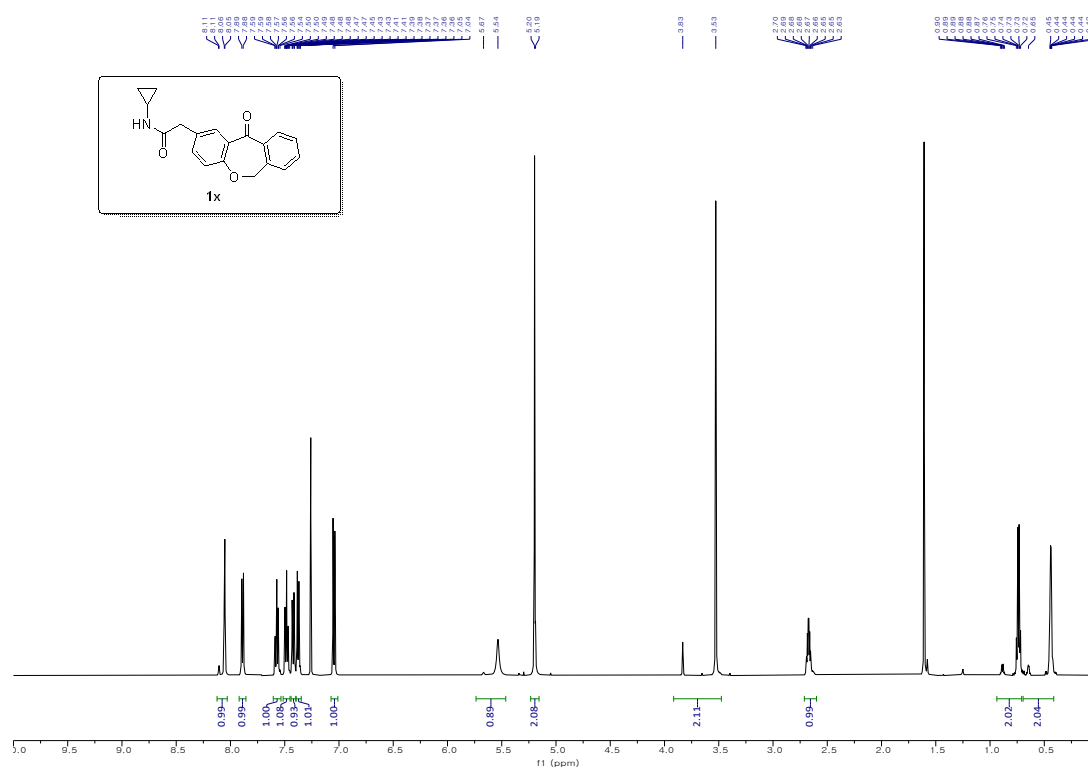


V -130.96
V -131.39
V -143.77
V -143.77

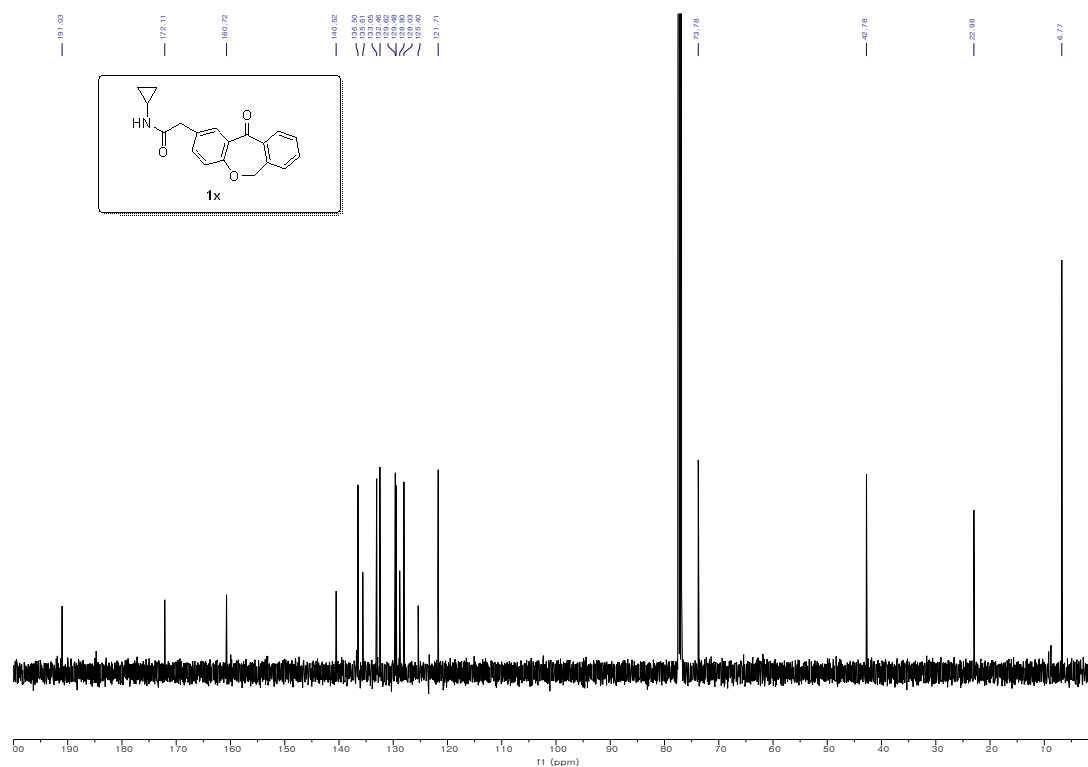


376 MHz, ^{19}F NMR in CDCl_3

N-cyclopropyl-2-(11-oxo-6,11-dihydrodibenzo[b,e]oxepin-2-yl)acetamide (1x)

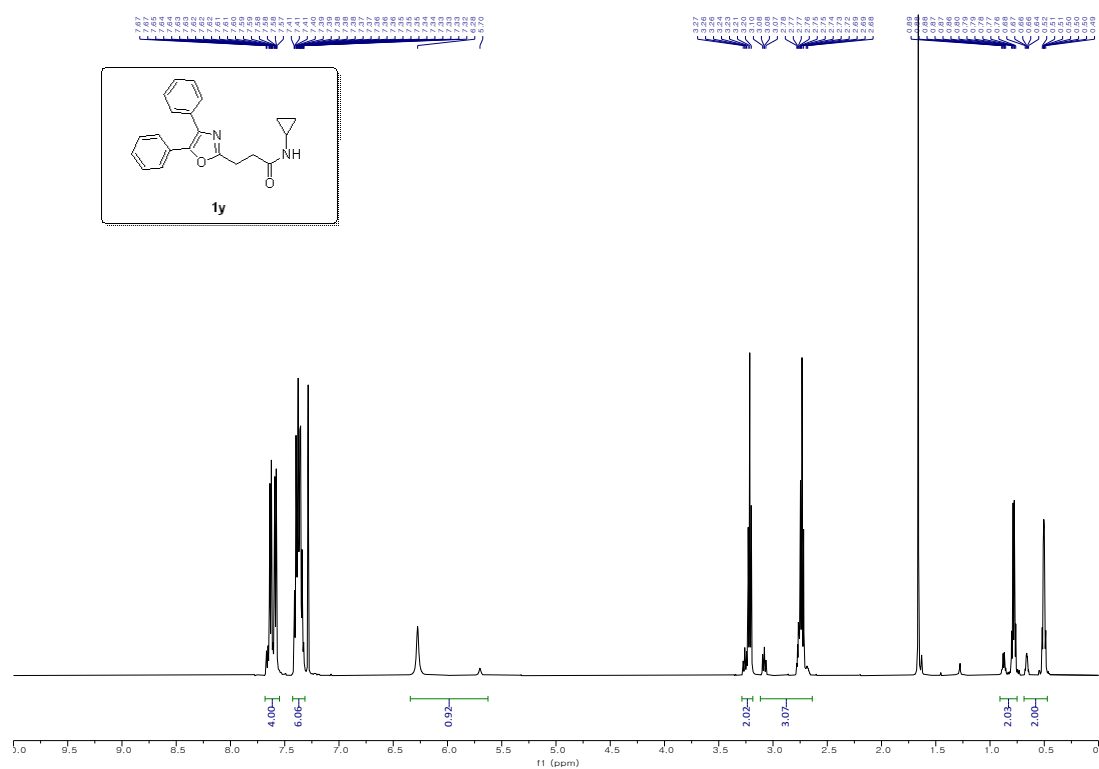


500 MHz, ¹H NMR in CDCl₃

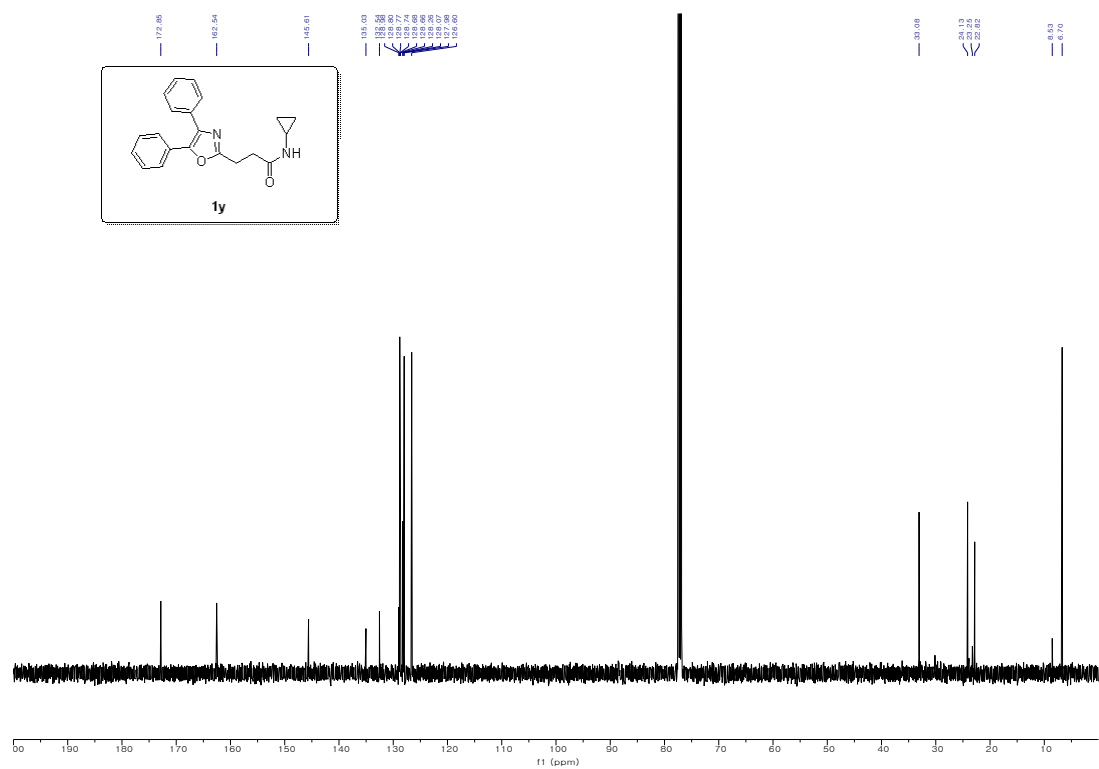


125 MHz, ¹³C NMR in CDCl₃

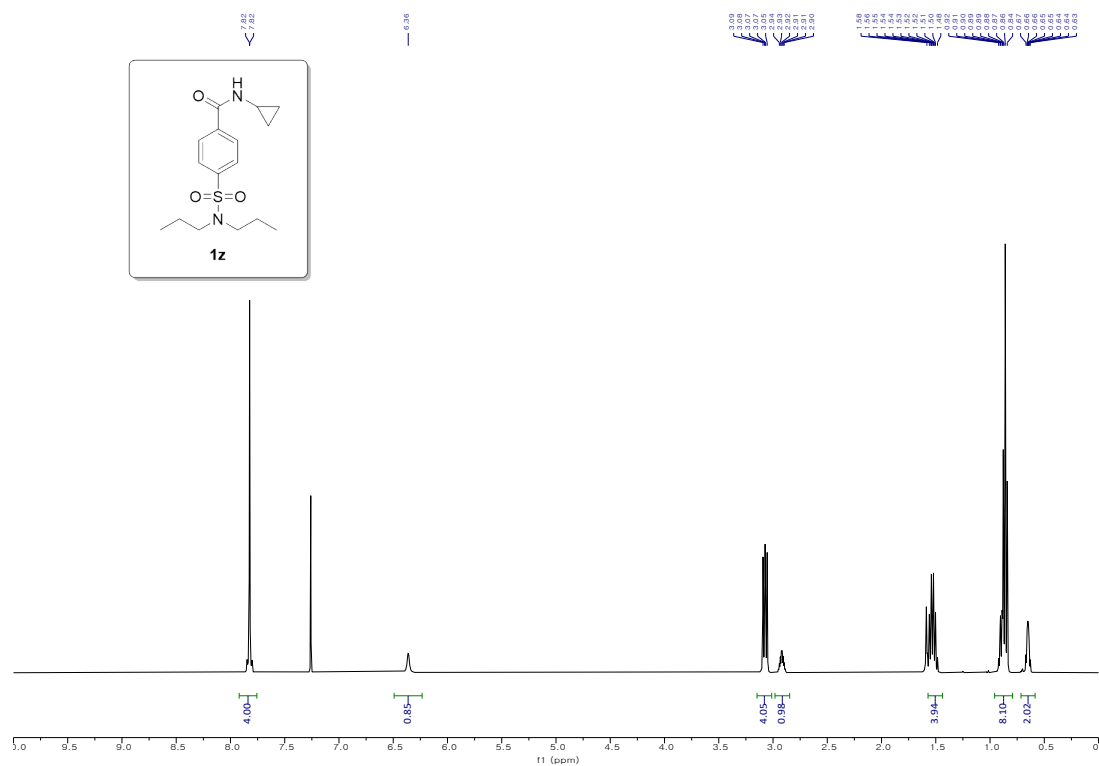
N-cyclopropyl-3-(4,5-diphenyloxazol-2-yl)propenamide (1y)



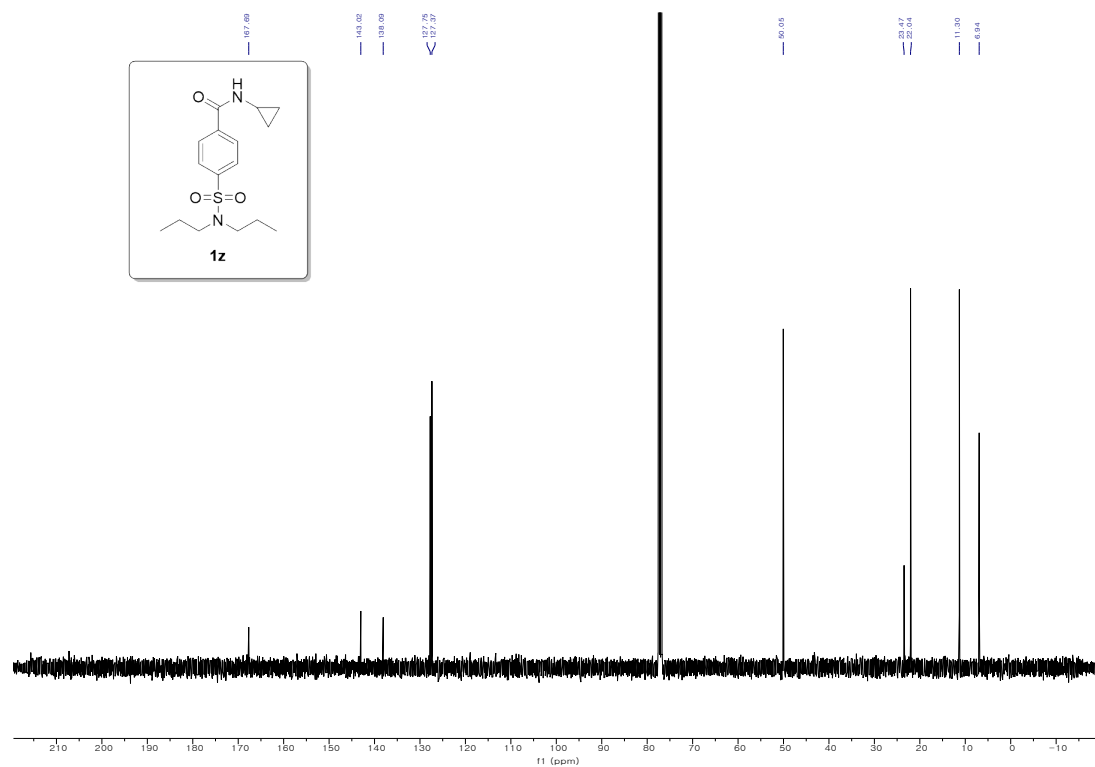
500 MHz, ¹H NMR in CDCl₃



N-cyclopropyl-4-(N,N-dipropylsulfamoyl)benzamide (1z).

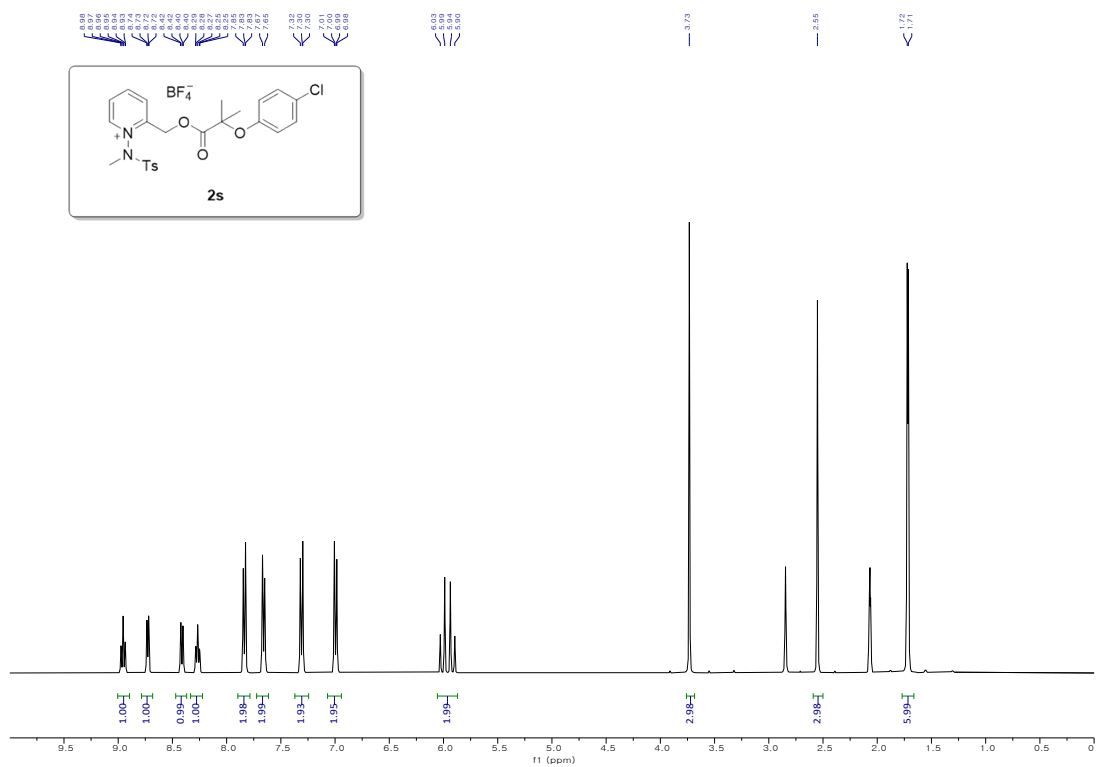


400 MHz, ¹H NMR in CDCl₃

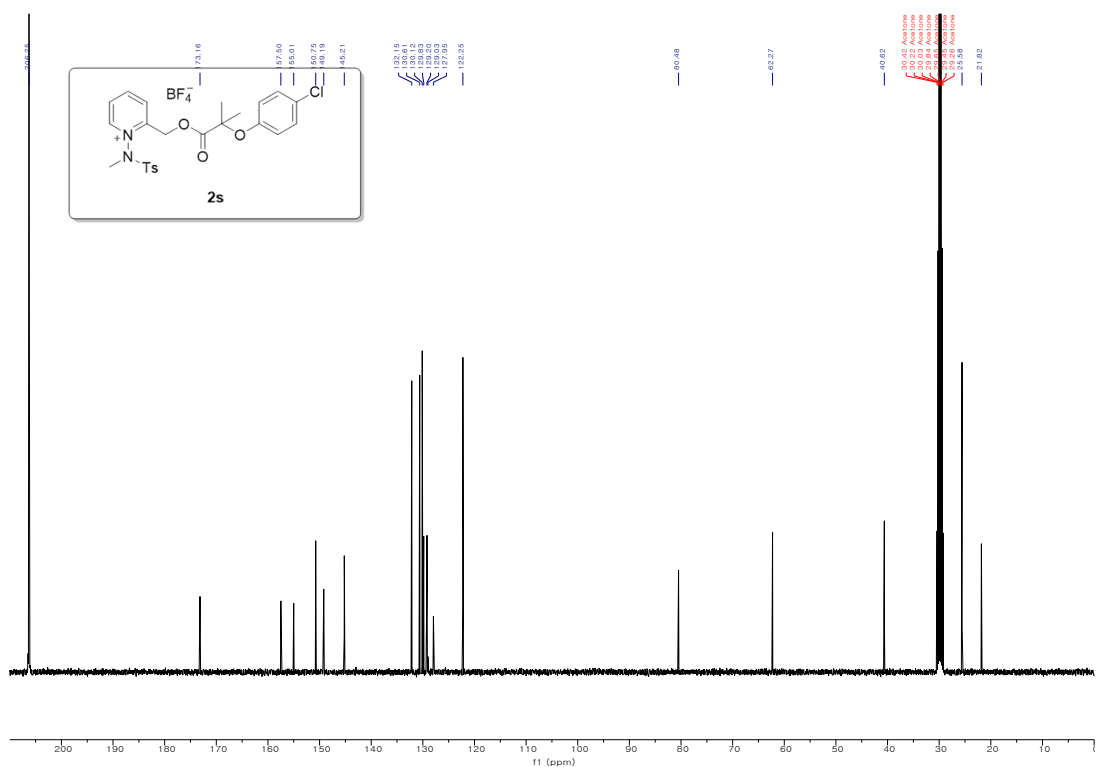


100 MHz, ¹³C NMR in CDCl₃

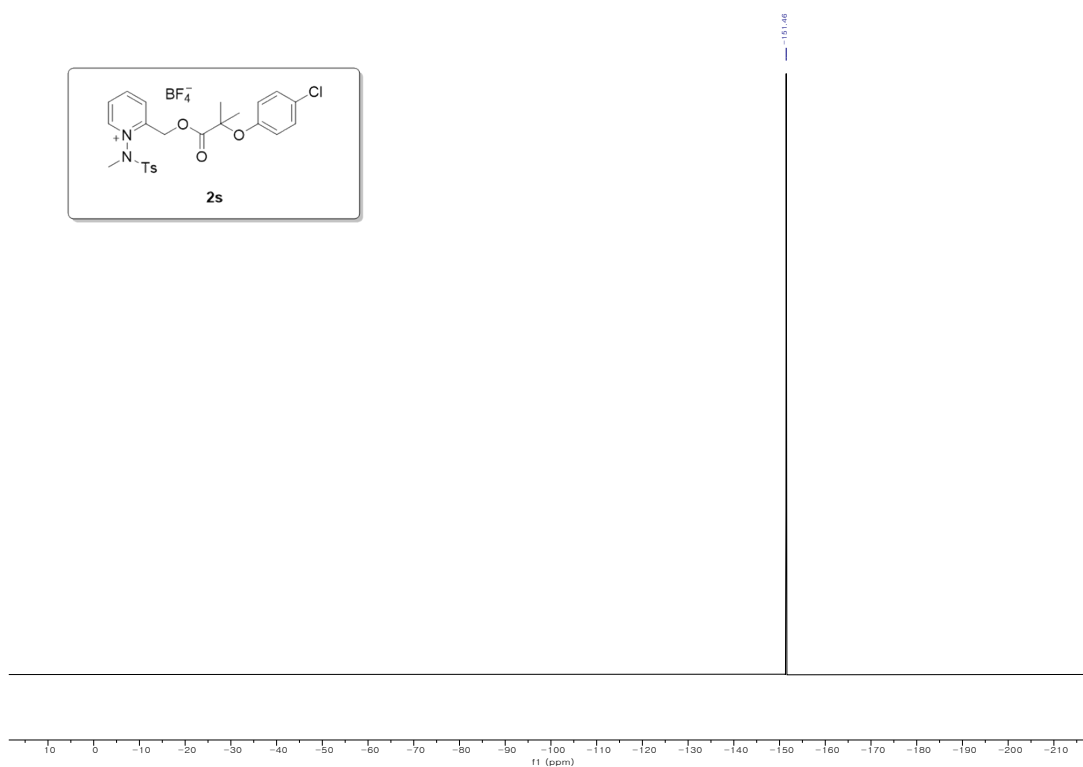
2-(((2-(4-chlorophenoxy)-2-methylpropanoyl)oxy)methyl)-1-((N,4-dimethylphenyl)sulfonamido)pyridin-1-ium tetrafluoroborate (2s).



400 MHz, ¹H NMR in C₃D₆O

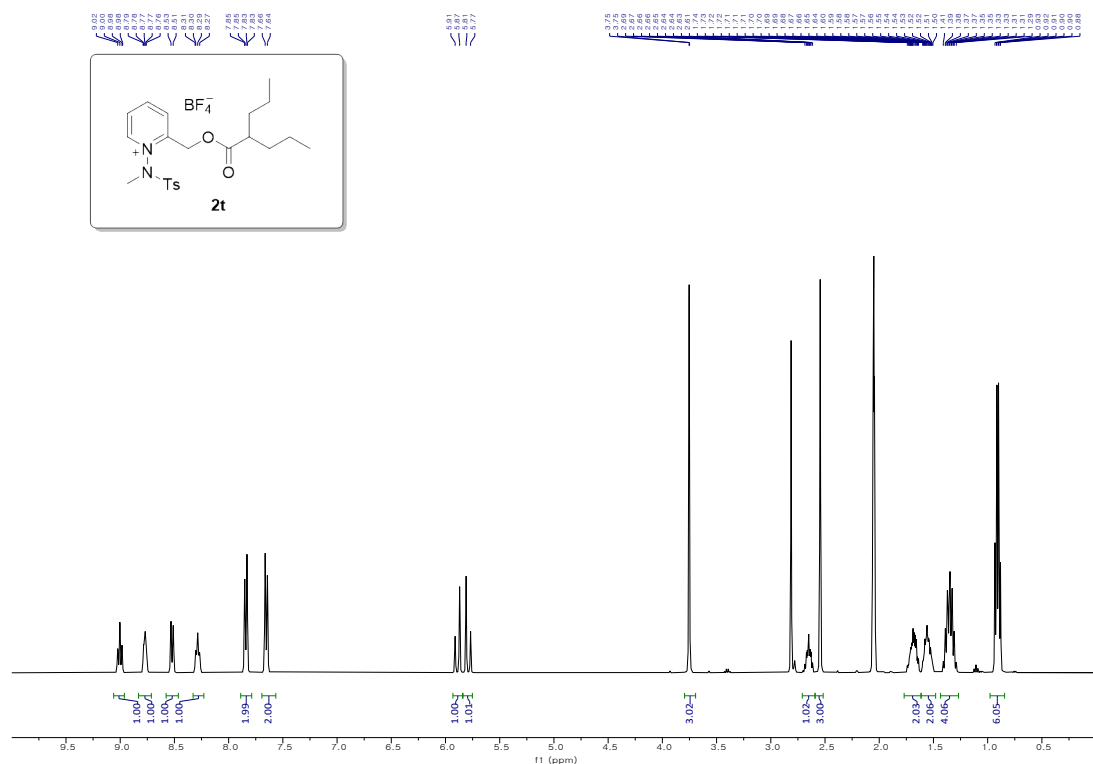


100 MHz, ¹³C NMR in C₃D₆O

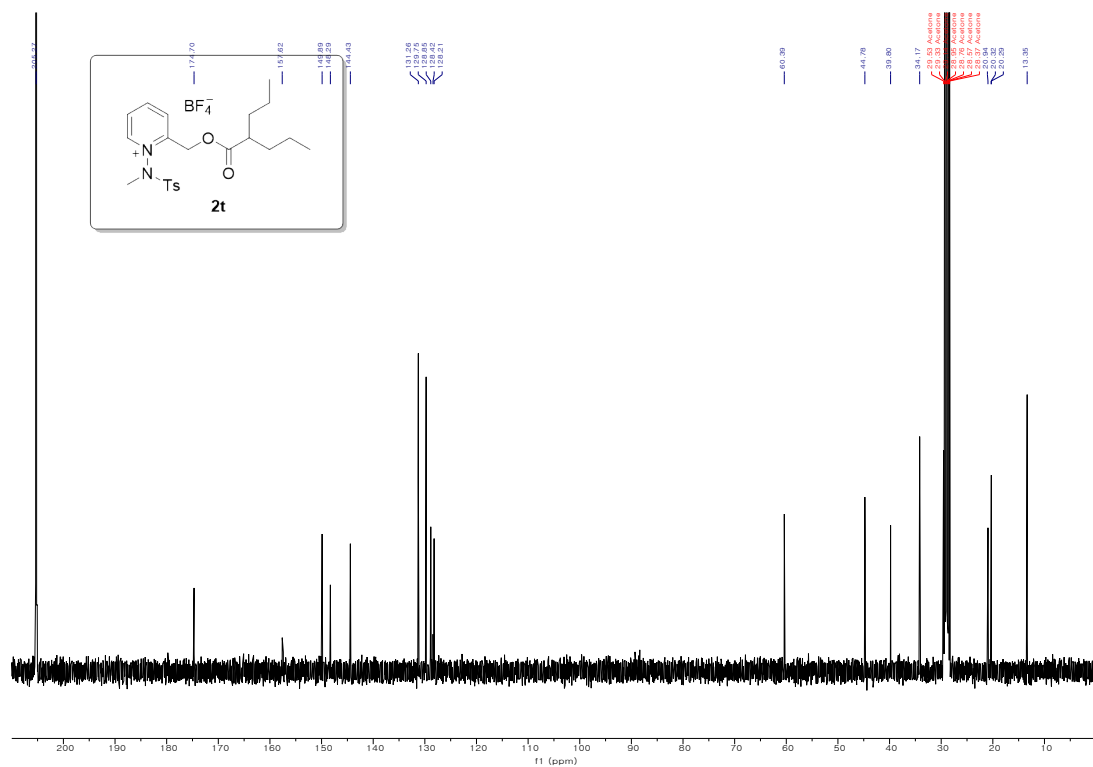


376 MHz, ¹⁹F NMR in C₃D₆O

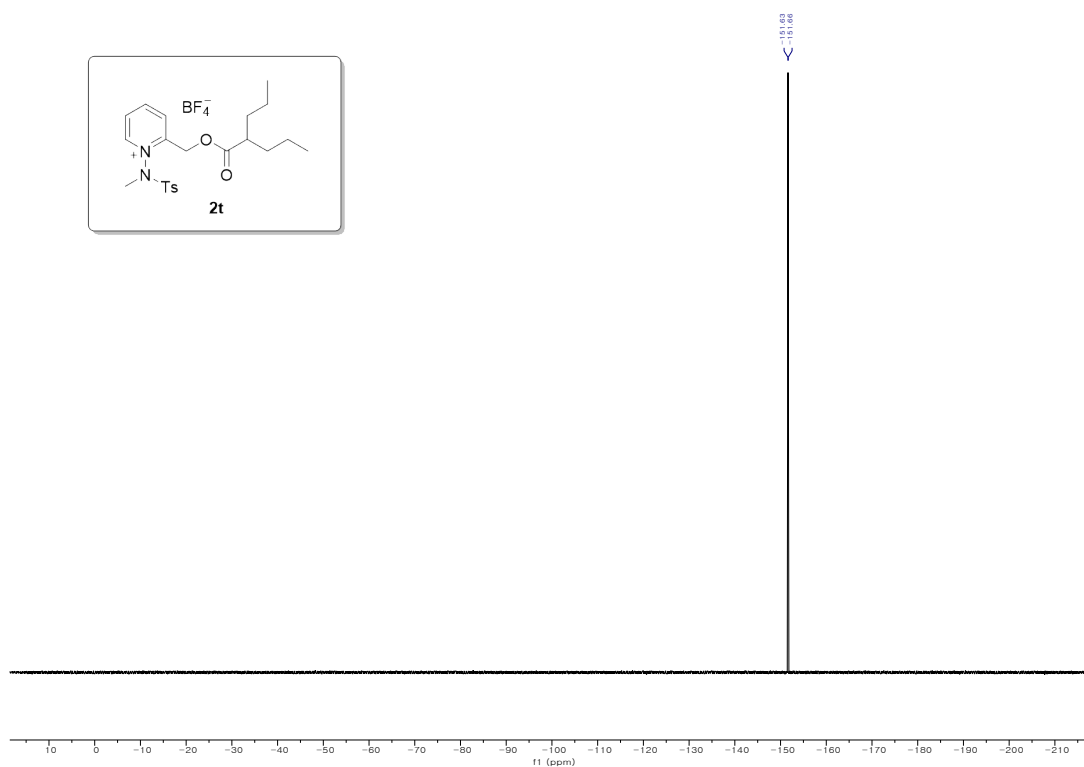
1-((N,4-dimethylphenyl)sulfonamido)-2-(((2-propylpentanoyl)oxy)methyl)pyridin-1-ium tetrafluoroborate (2t).



400 MHz, ¹H NMR in C₃D₆O

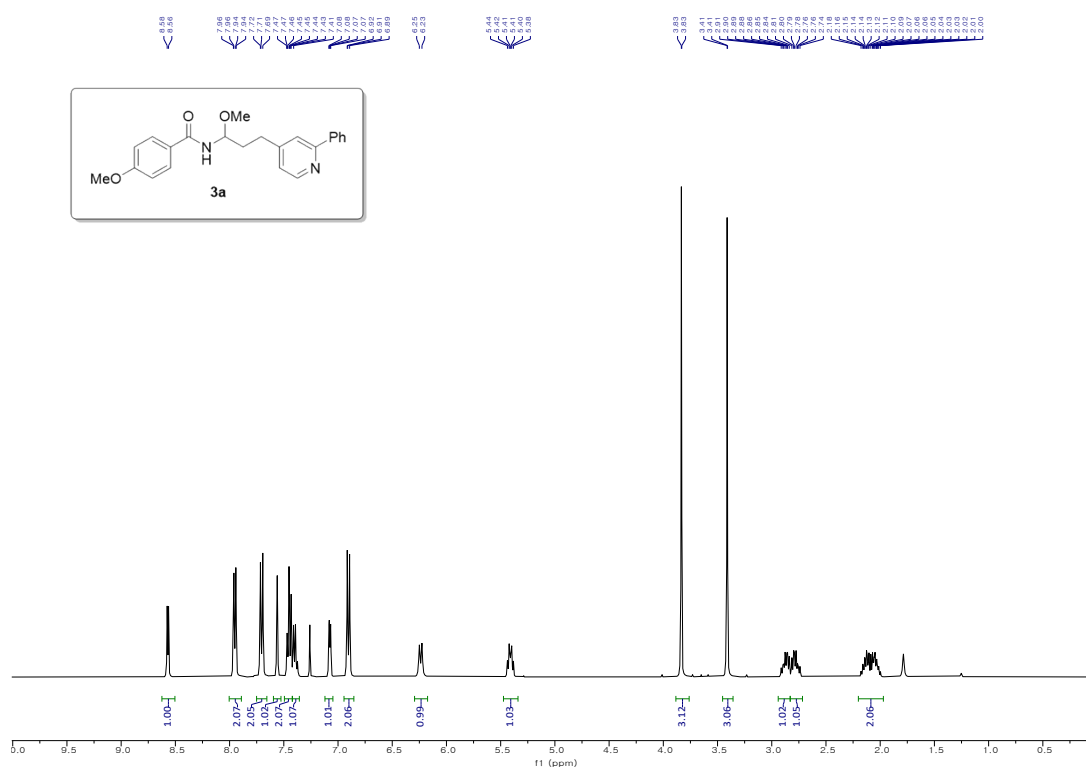


100 MHz, ¹³C NMR in C₃D₆O

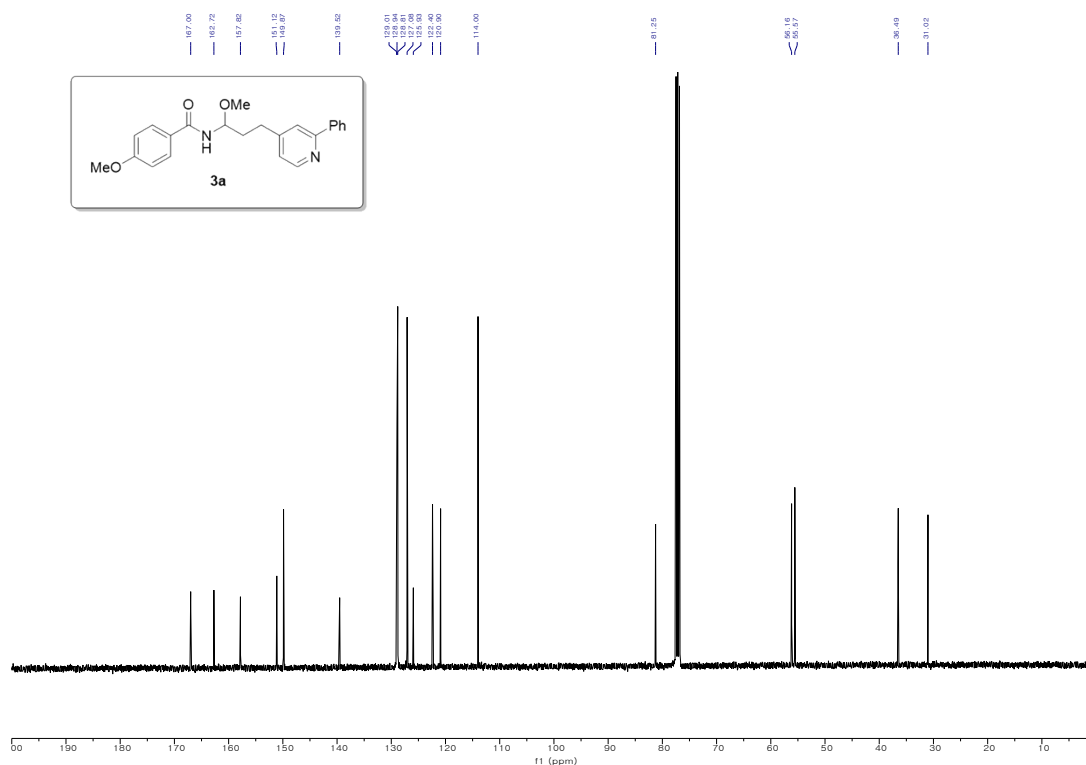


376 MHz, ^{19}F NMR in $\text{C}_3\text{D}_6\text{O}$

4-methoxy-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (3a)

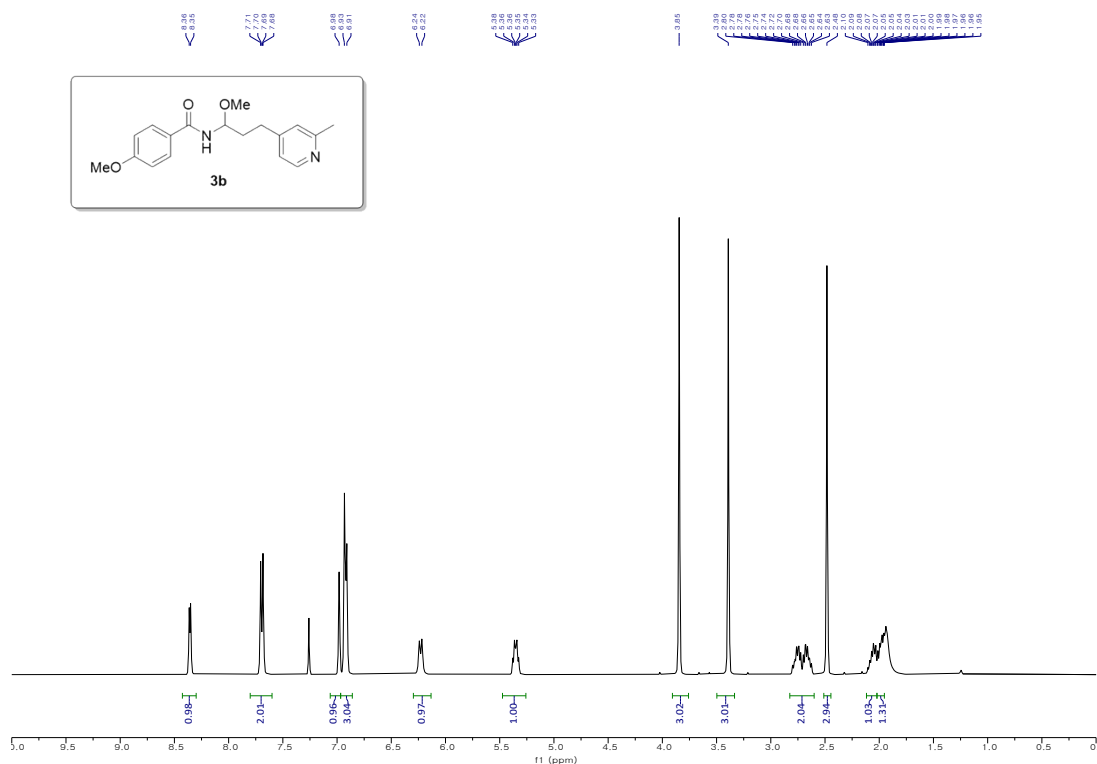


400 MHz, ¹H NMR in CDCl₃

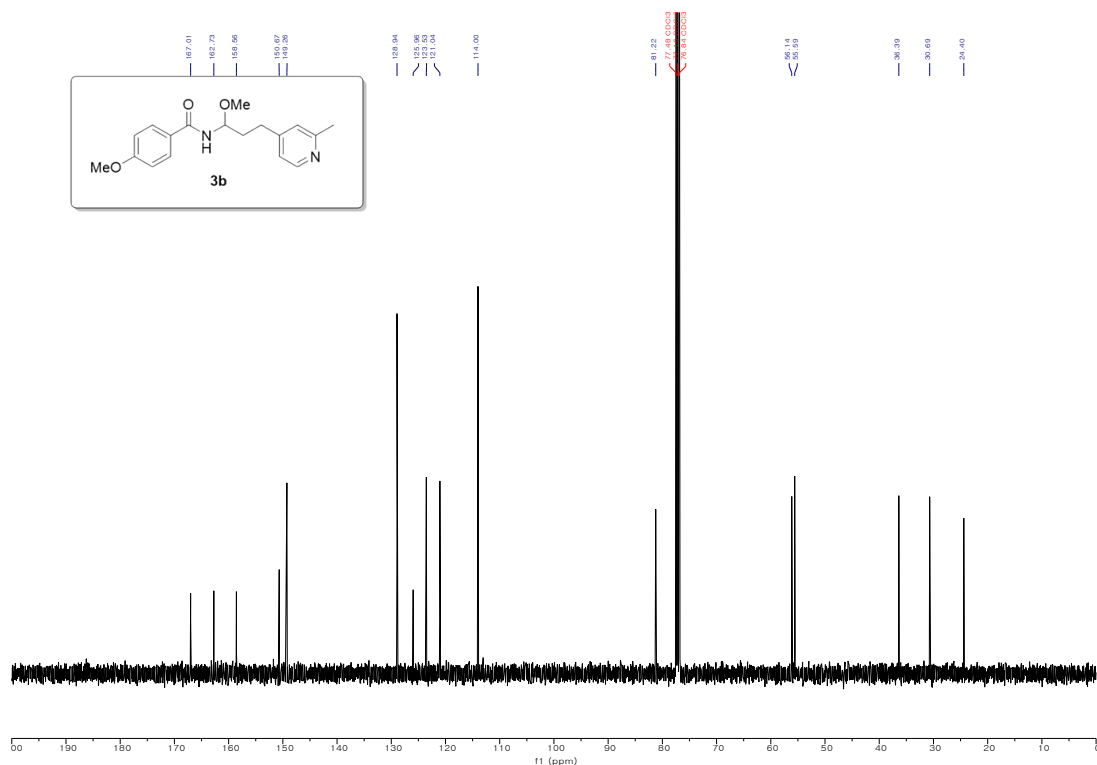


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(2-methylpyridin-4-yl)propyl)benzamide (3b)

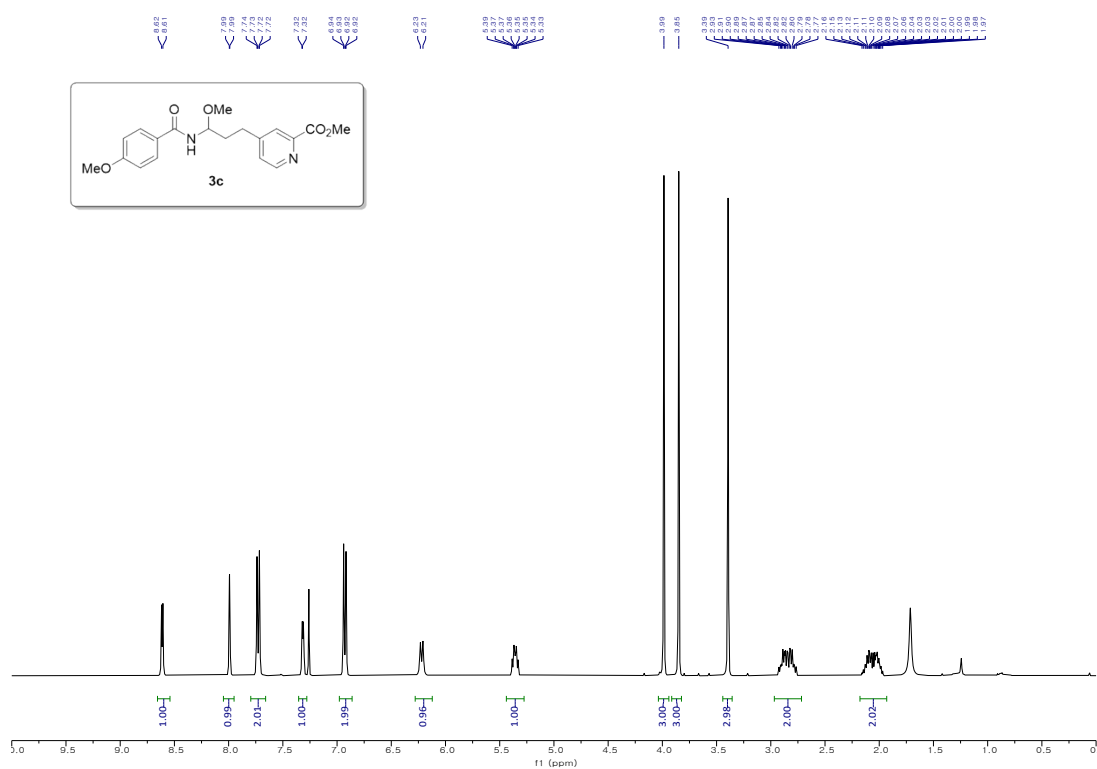


400 MHz, ¹H NMR in CDCl₃

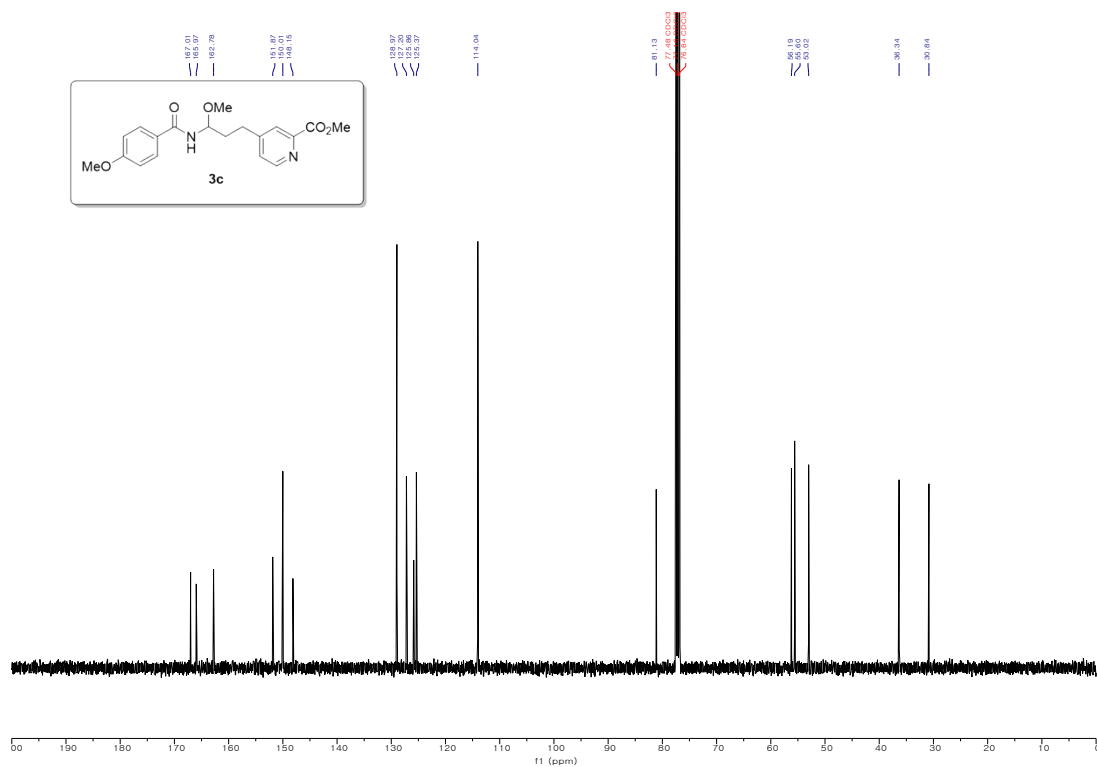


100 MHz, ¹³C NMR in CDCl₃

methyl 4-(3-methoxy-3-(4-methoxybenzamido)propyl)picolinate (3c)

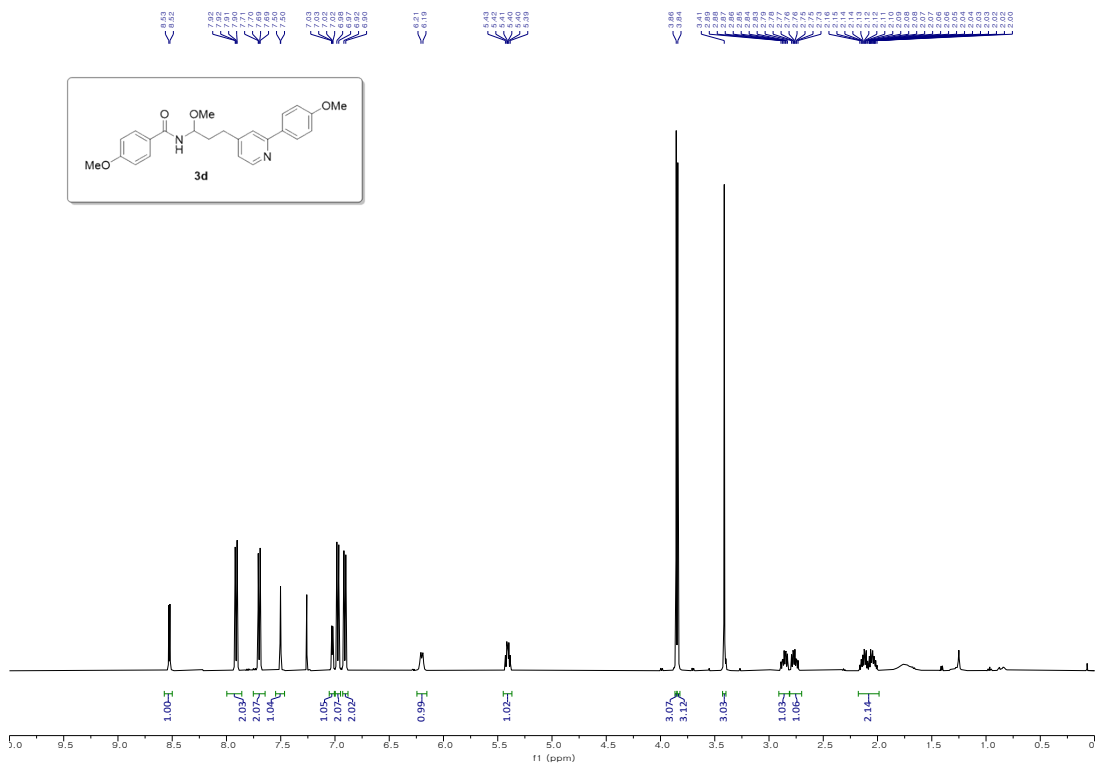


400 MHz, ¹H NMR in CDCl₃

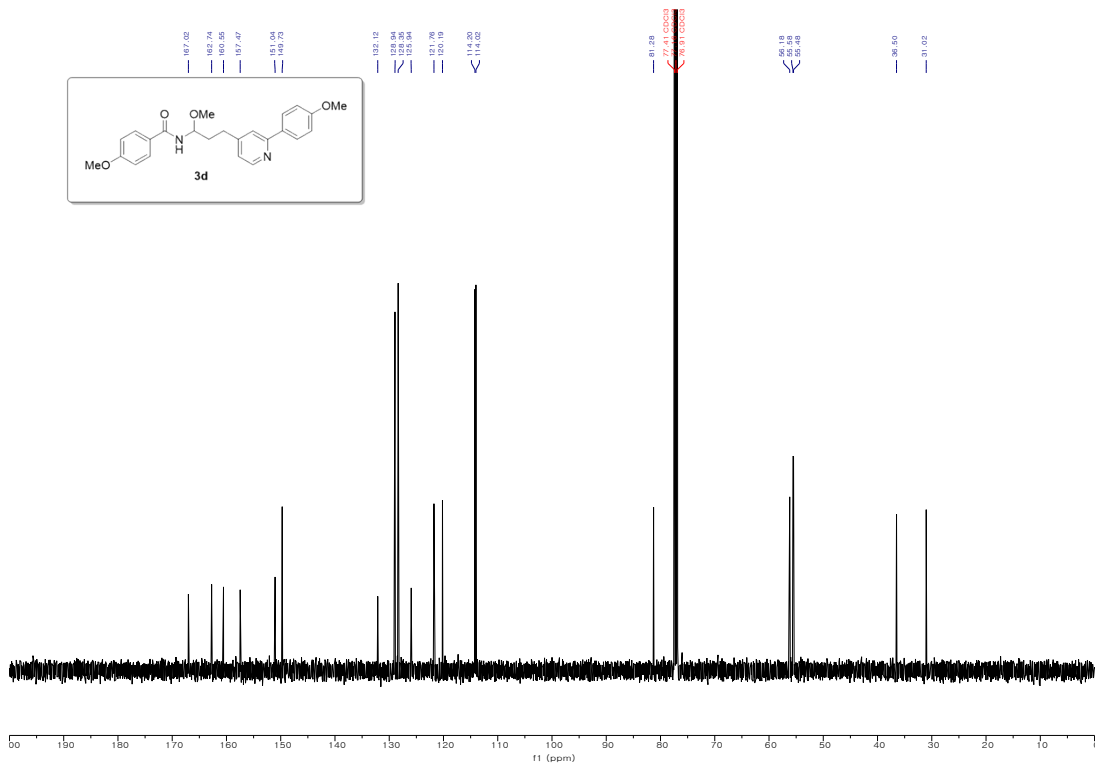


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(2-(4-methoxyphenyl)pyridin-4-yl)propyl)benzamide (3d).

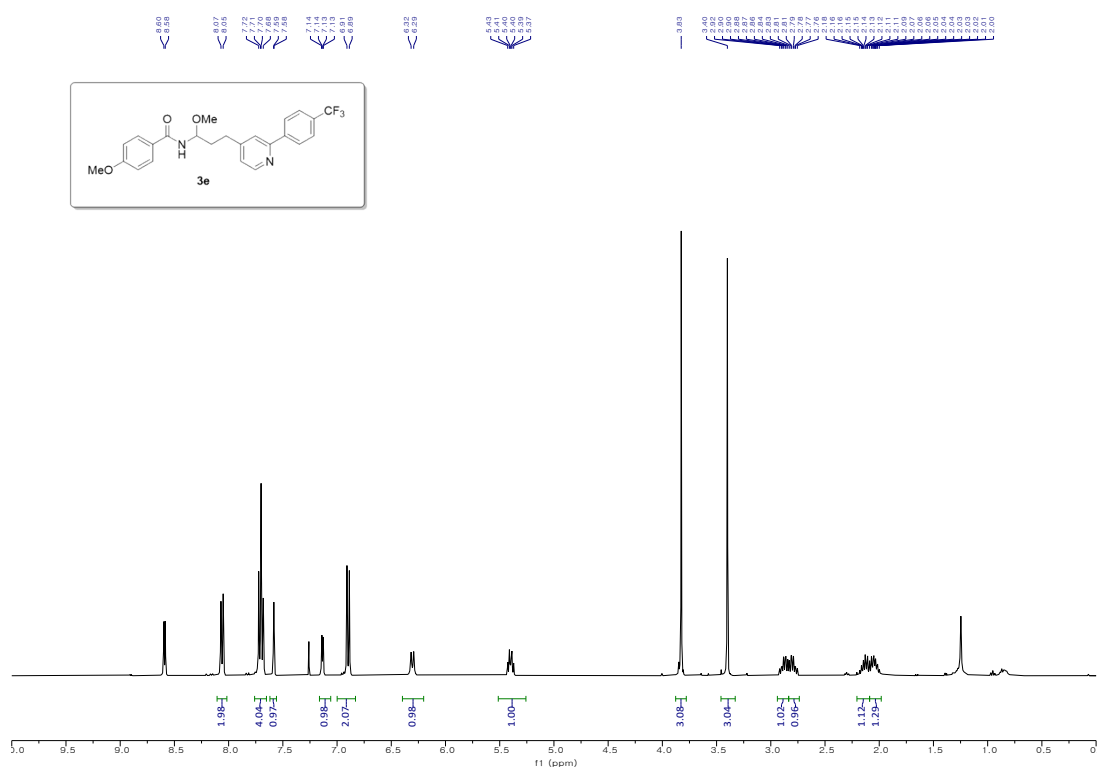


500 MHz, ^1H NMR in CDCl_3

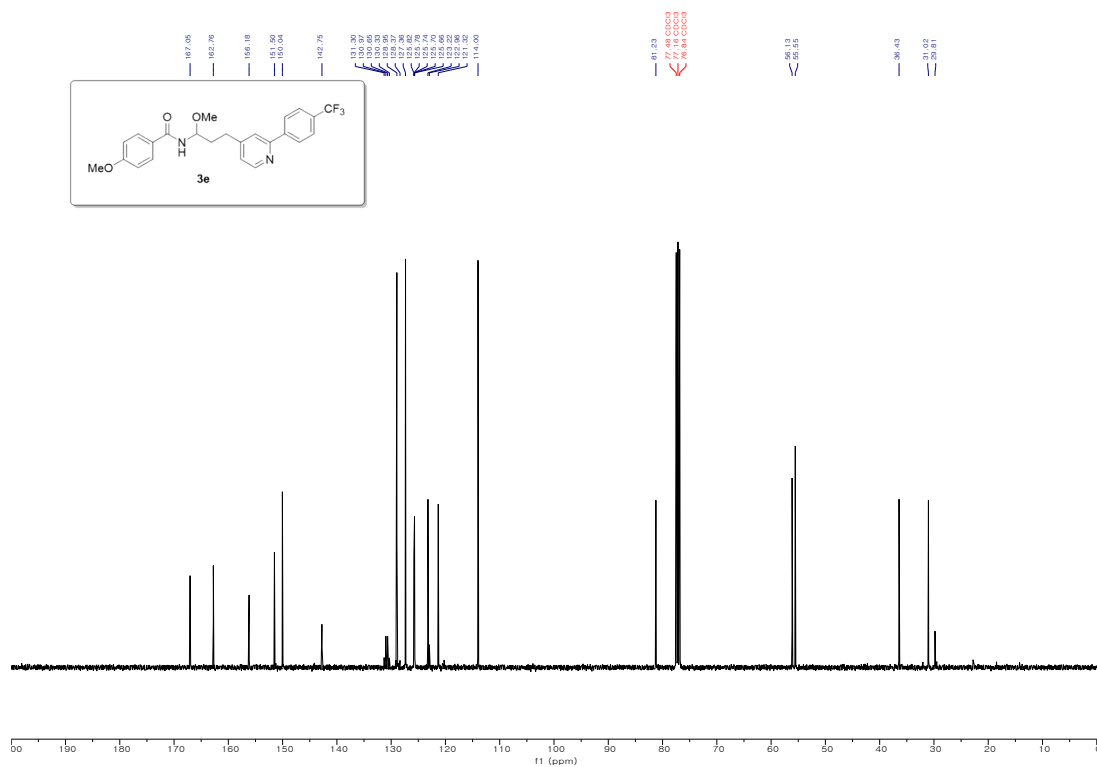


125 MHz, ^{13}C NMR in CDCl_3

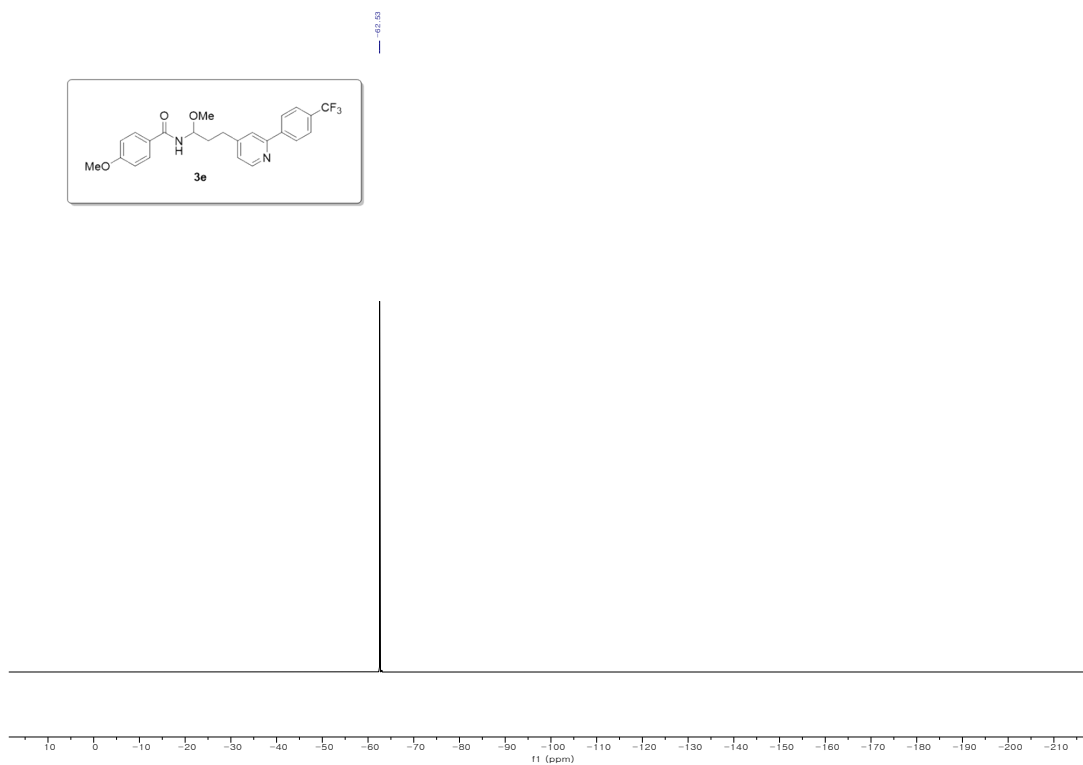
4-methoxy-N-(1-methoxy-3-(2-(4-(trifluoromethyl)phenyl)pyridin-4-yl)propyl)benzamide (3e)



400 MHz, ¹H NMR in CDCl₃

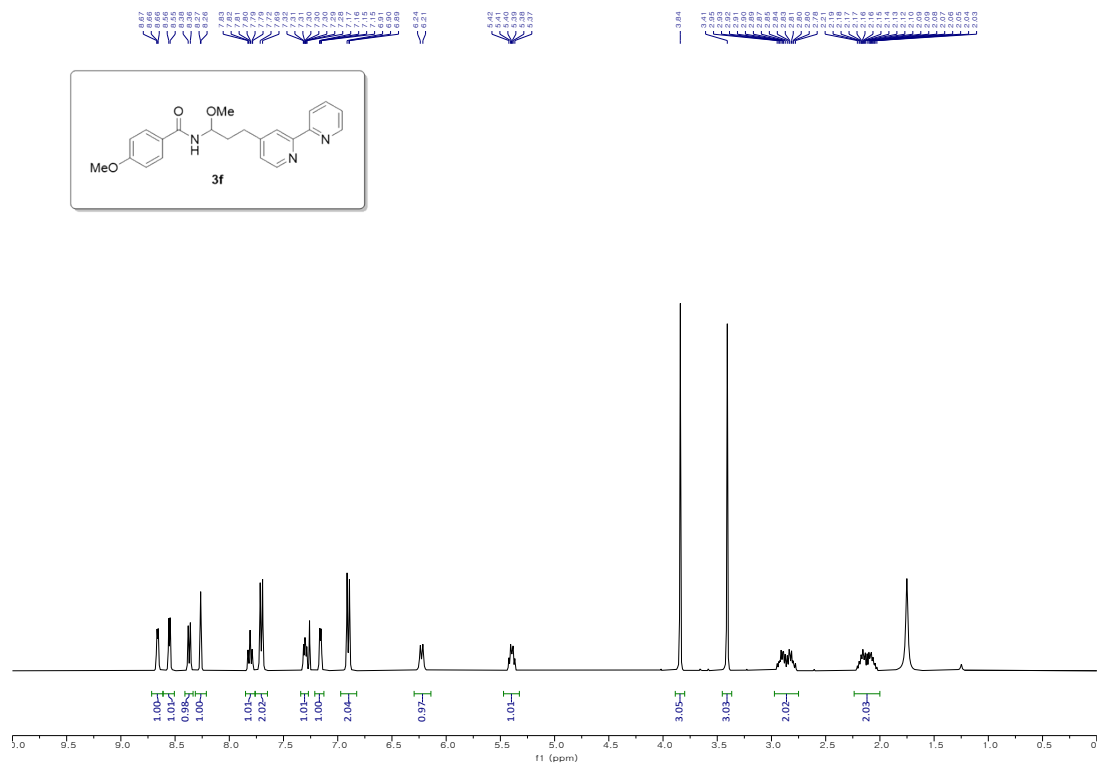


100 MHz, ¹³C NMR in CDCl₃

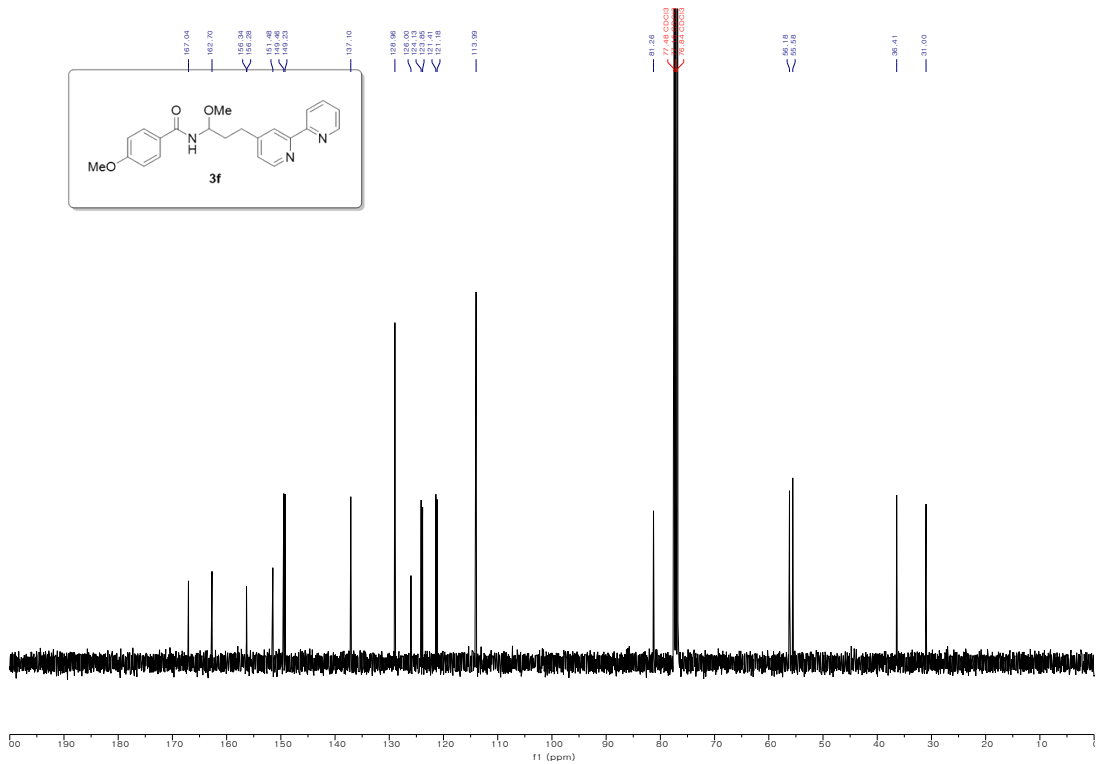


376 MHz, ^{19}F NMR in CDCl_3

N-(3-([2,2'-bipyridin]-4-yl)-1-methoxypropyl)-4-methoxybenzamide (3f).

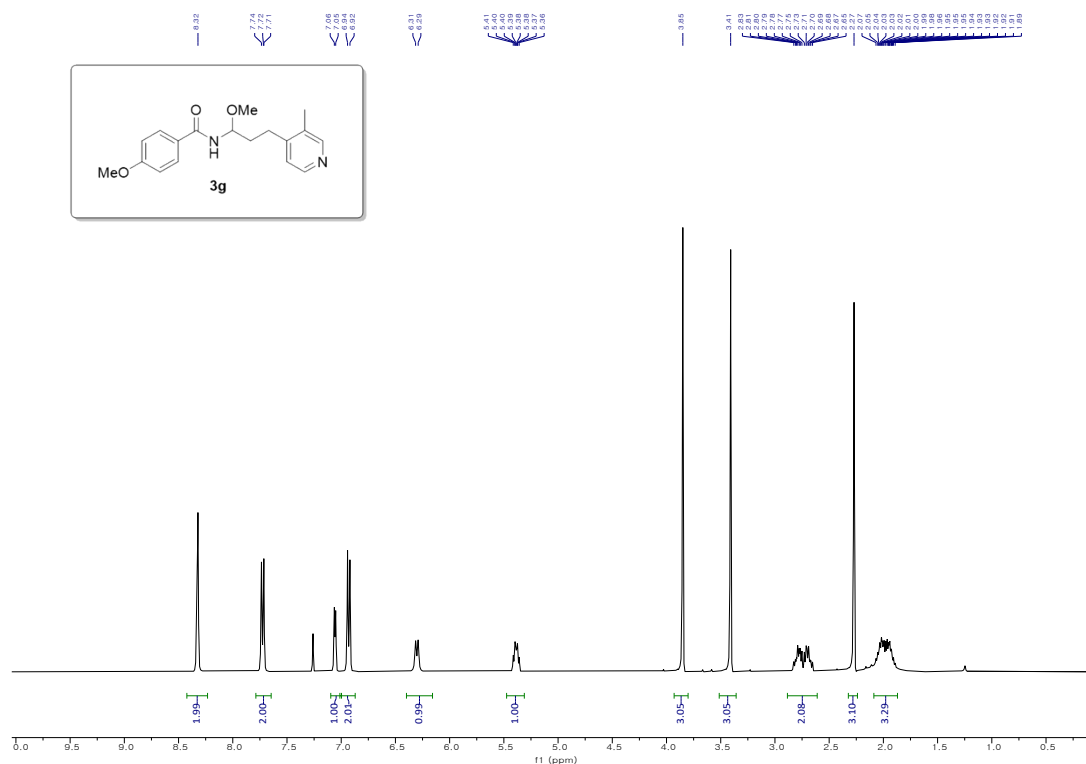


400 MHz, ^1H NMR in CDCl_3

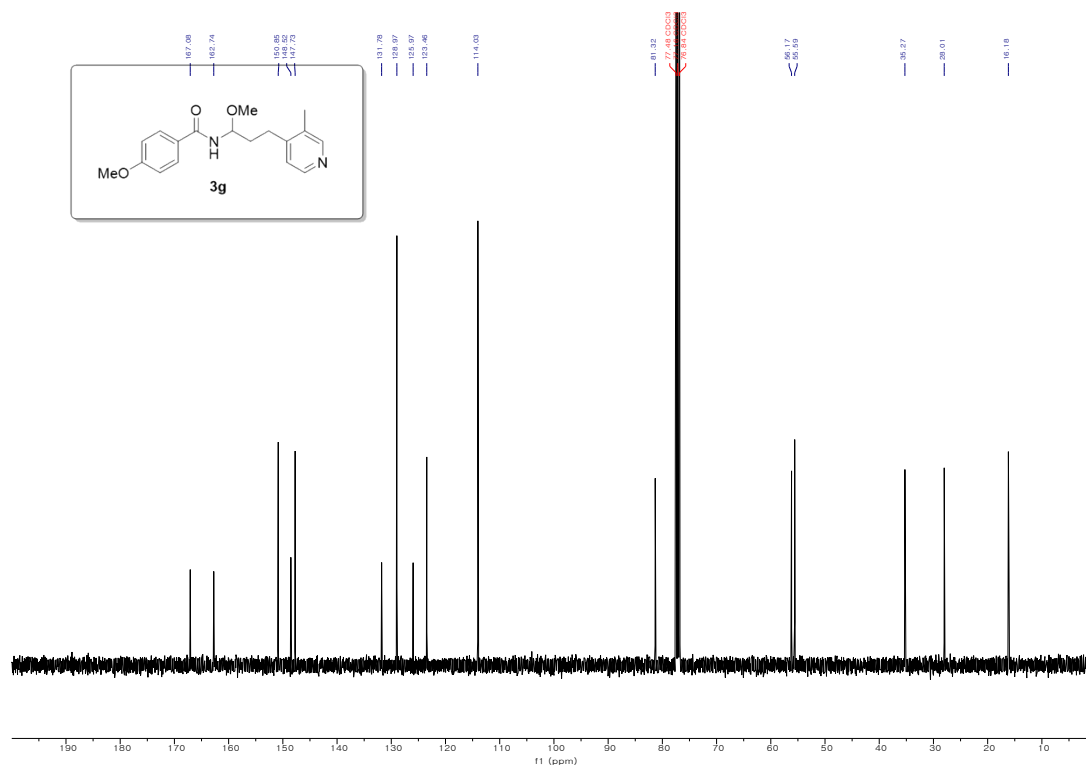


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(3-methylpyridin-4-yl)propyl)benzamide (3g)

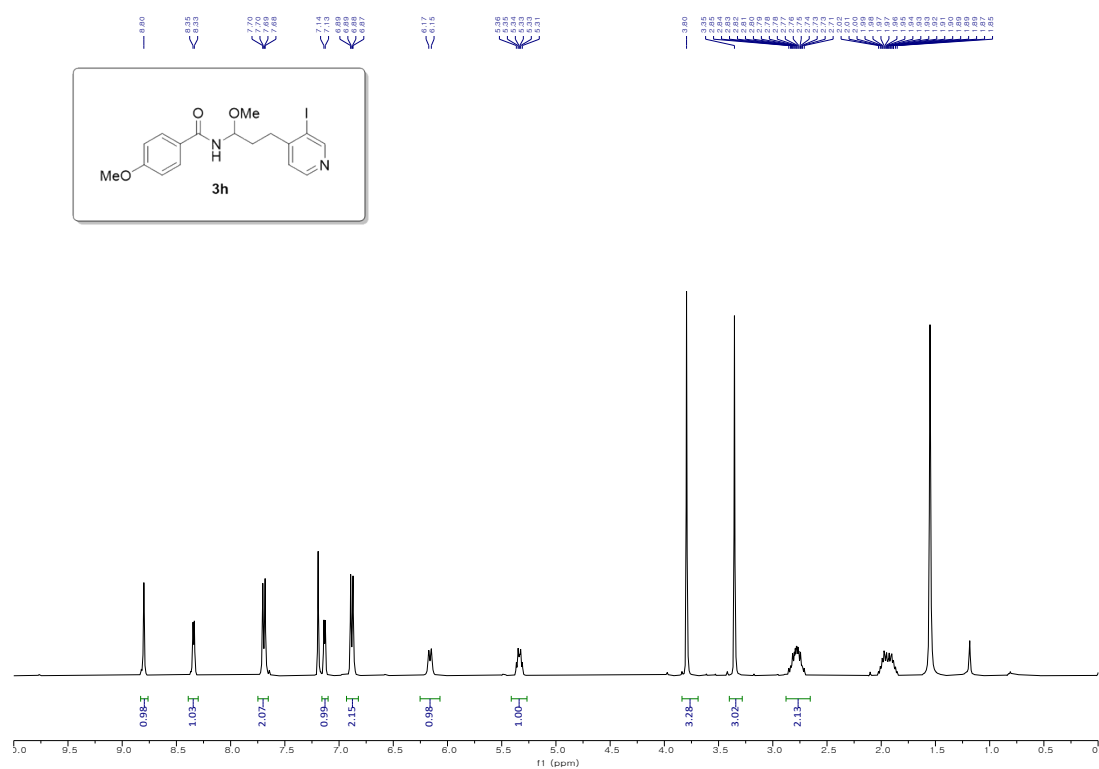


400 MHz, ¹H NMR in CDCl₃

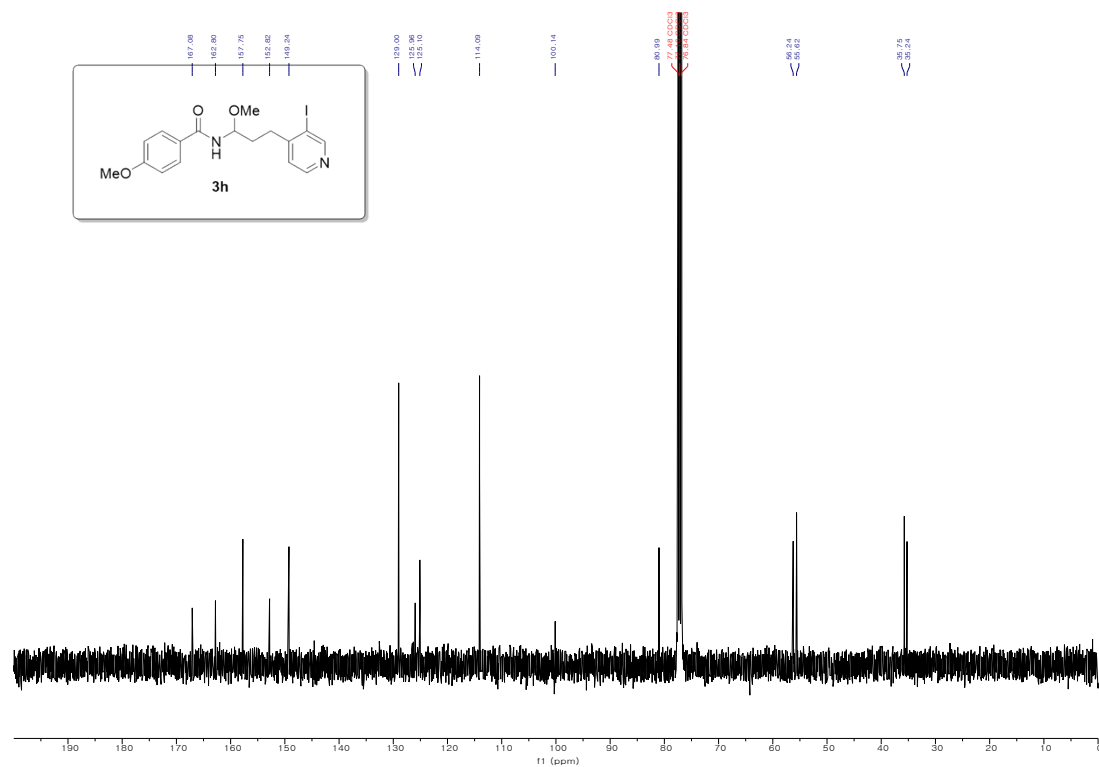


100 MHz, ¹³C NMR in CDCl₃

N-(3-(3-iodopyridin-4-yl)-1-methoxypropyl)-4-methoxybenzamide (3h).

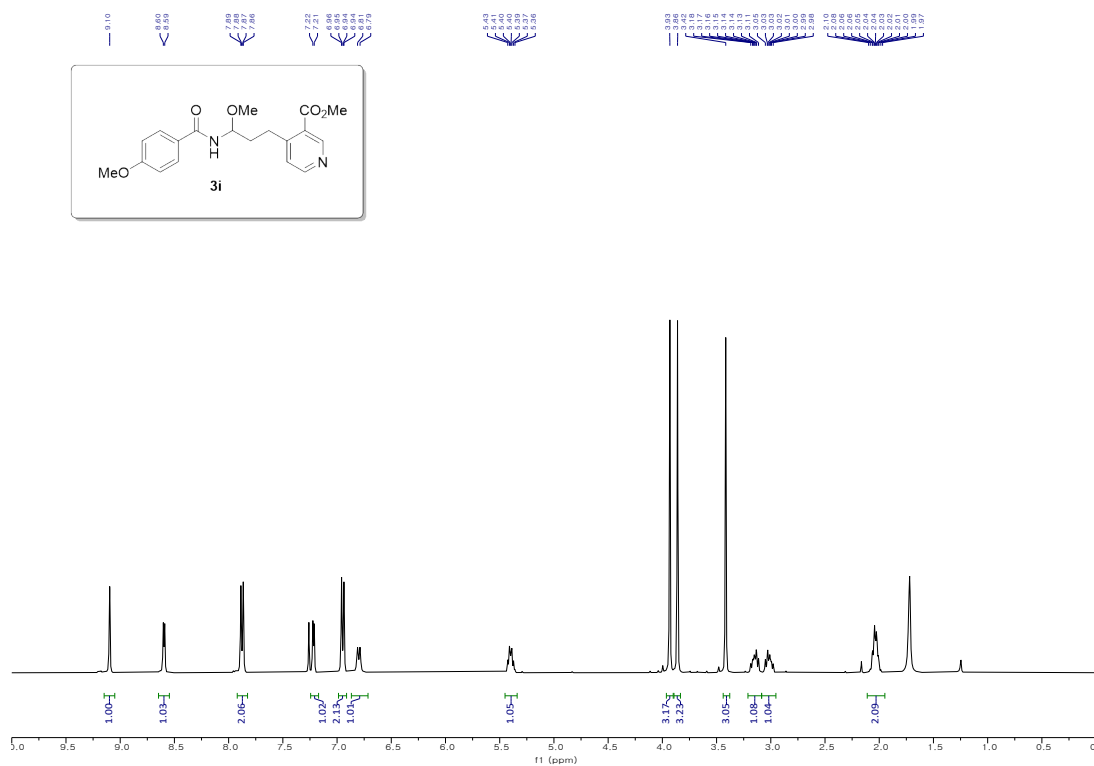


400 MHz, ¹H NMR in CDCl₃

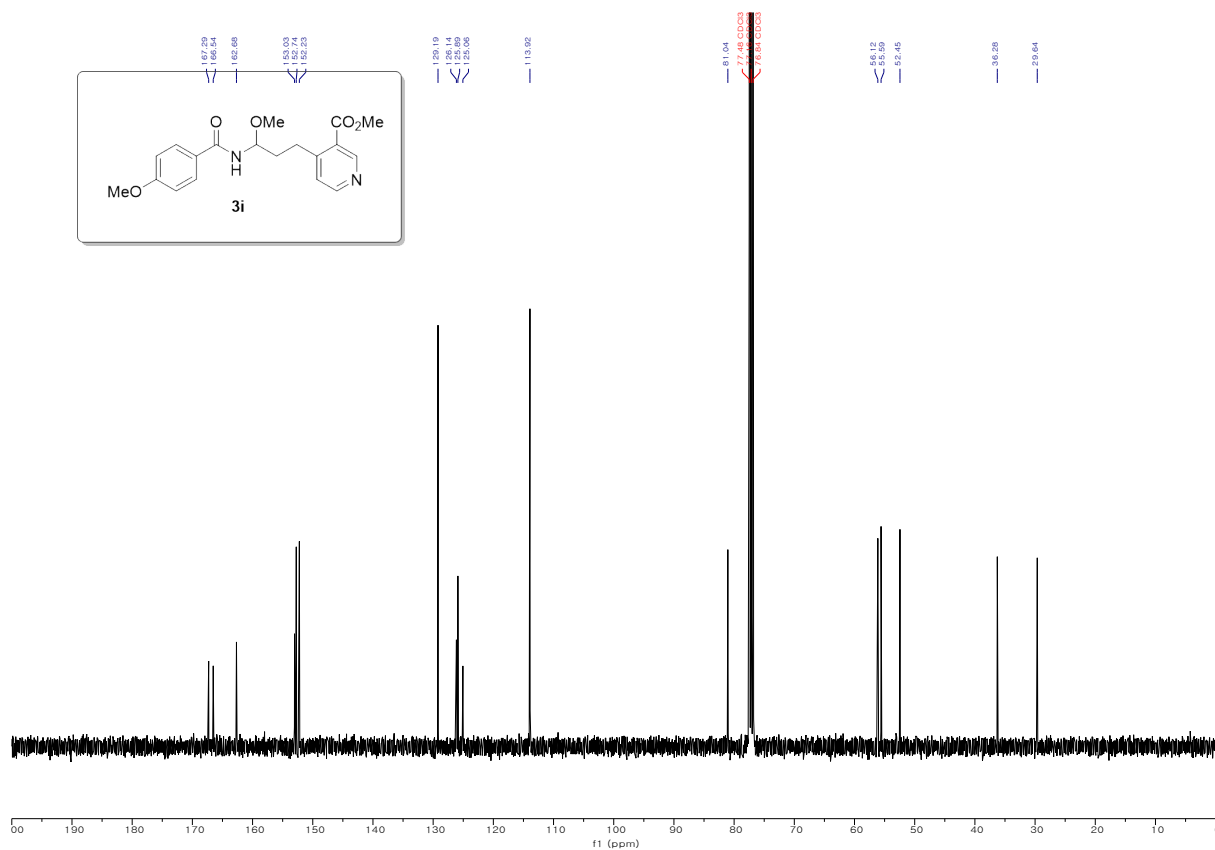


100 MHz, ¹³C NMR in CDCl₃

methyl 4-(3-methoxy-3-(4-methoxybenzamido)propyl)nicotinate (3i).

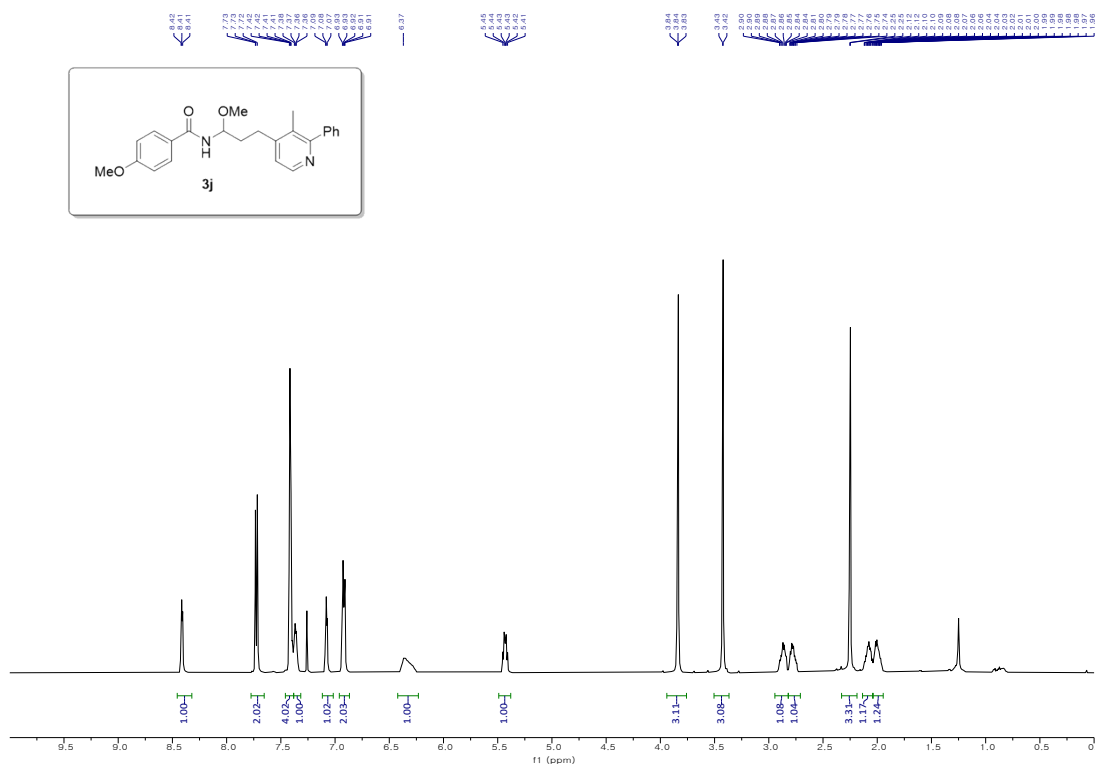


400 MHz, ¹H NMR in CDCl₃

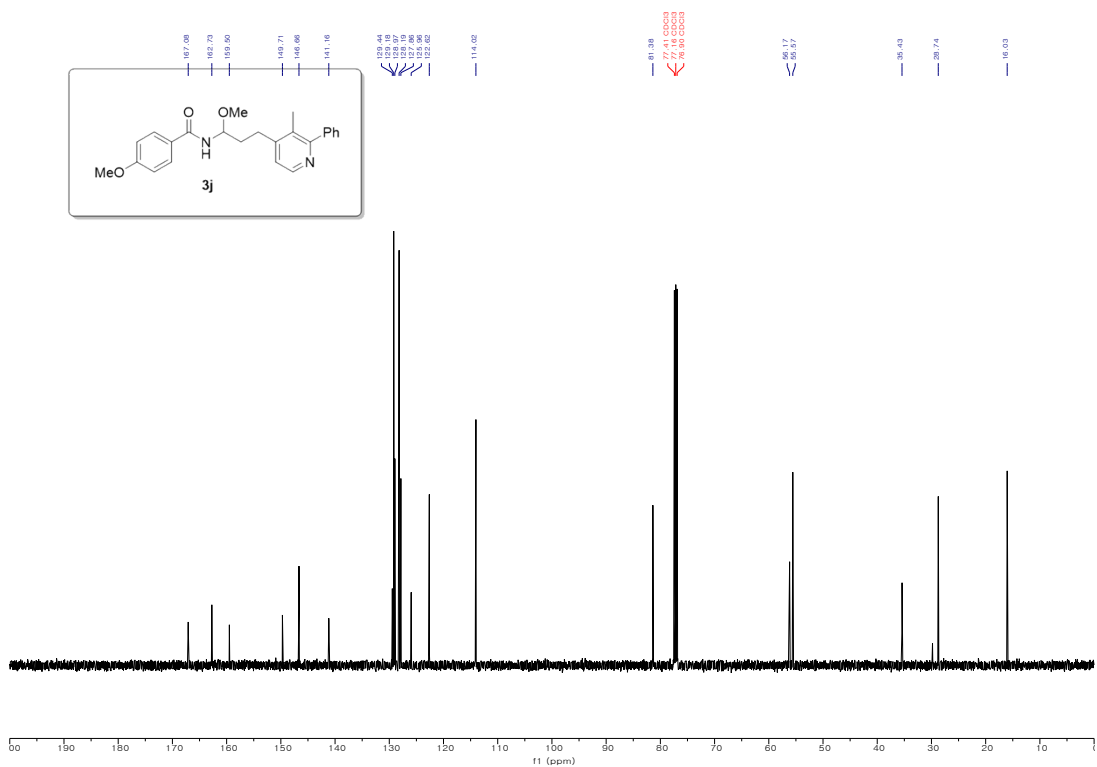


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(3-methyl-2-phenylpyridin-4-yl)propyl)benzamide (3j).

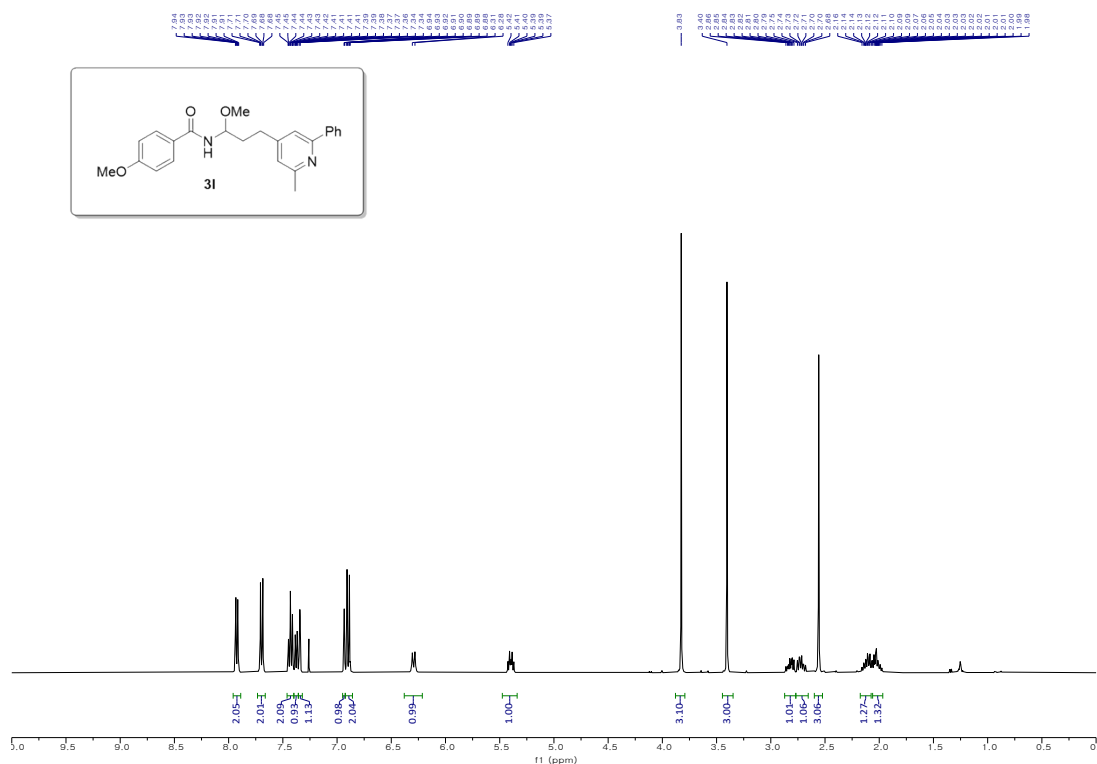


500 MHz, ¹H NMR in CDCl₃

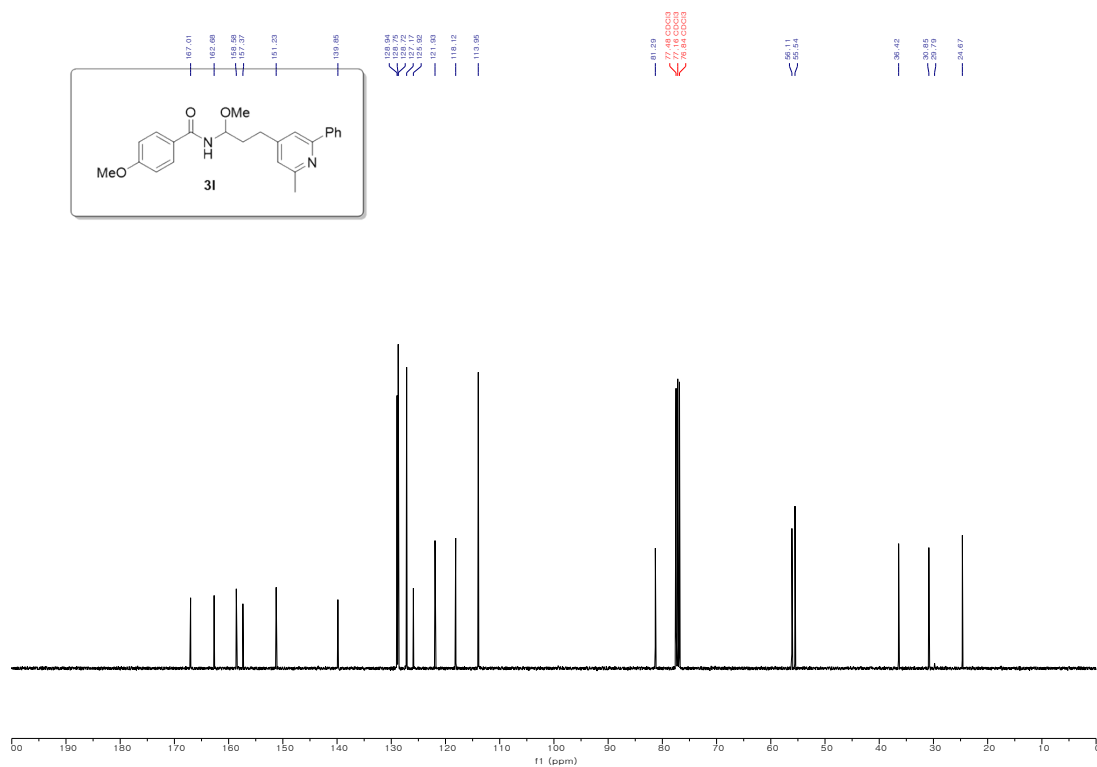


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(2-methyl-6-phenylpyridin-4-yl)propyl)benzamide (3l).

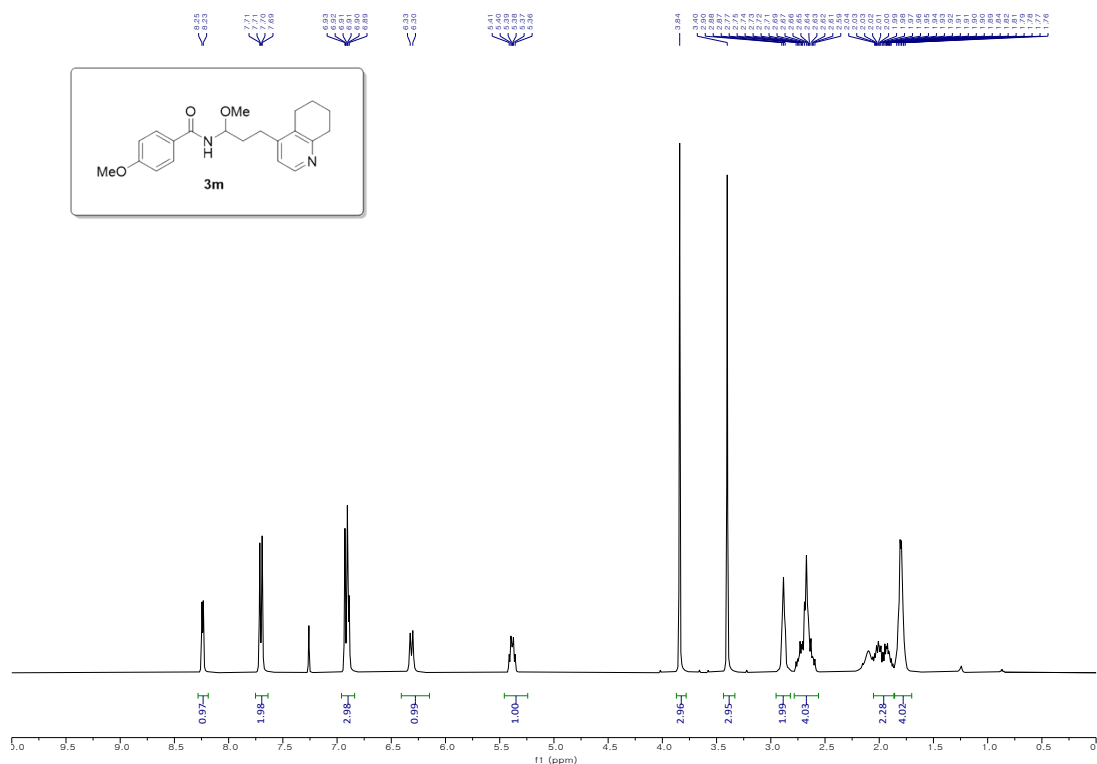


400 MHz, ¹H NMR in CDCl₃

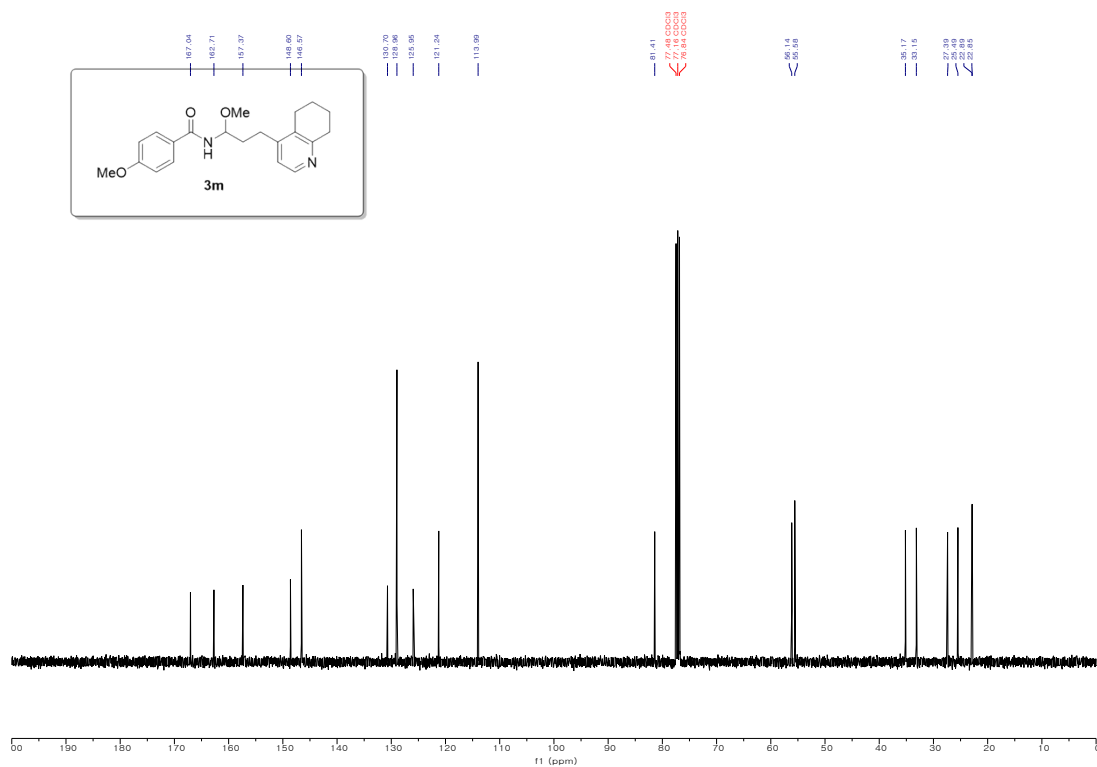


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(5,6,7,8-tetrahydroquinolin-4-yl)propyl)benzamide (3m).

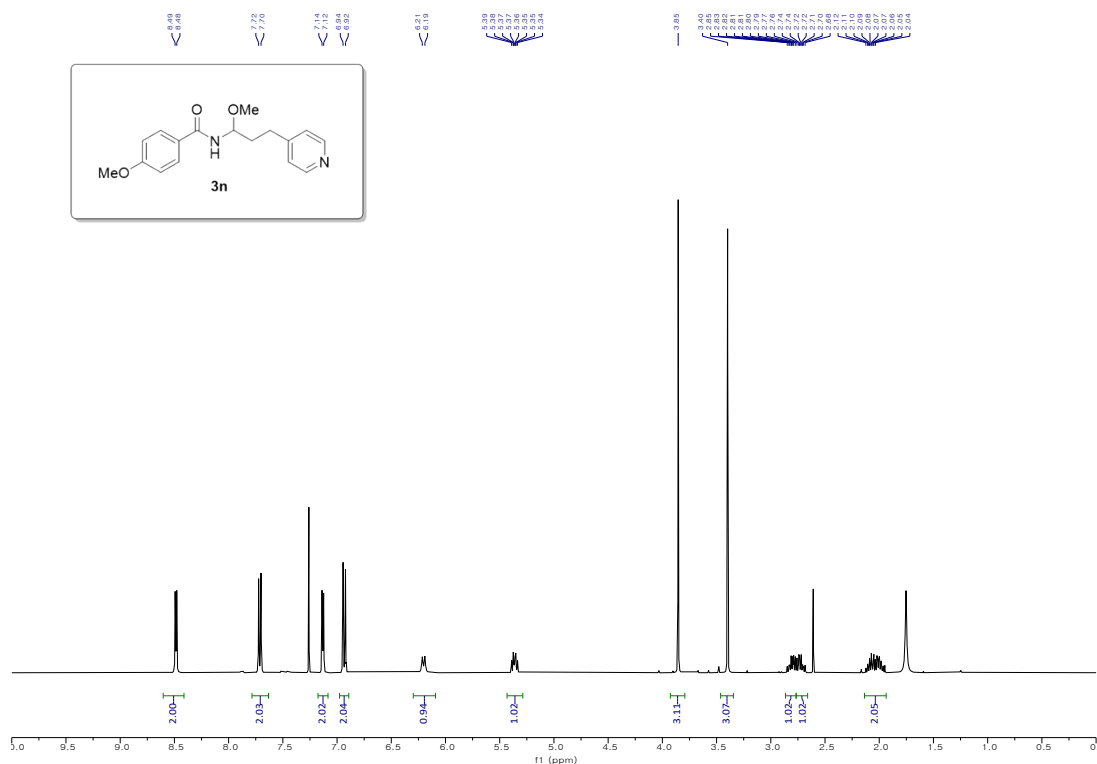


400 MHz, ¹H NMR in CDCl₃

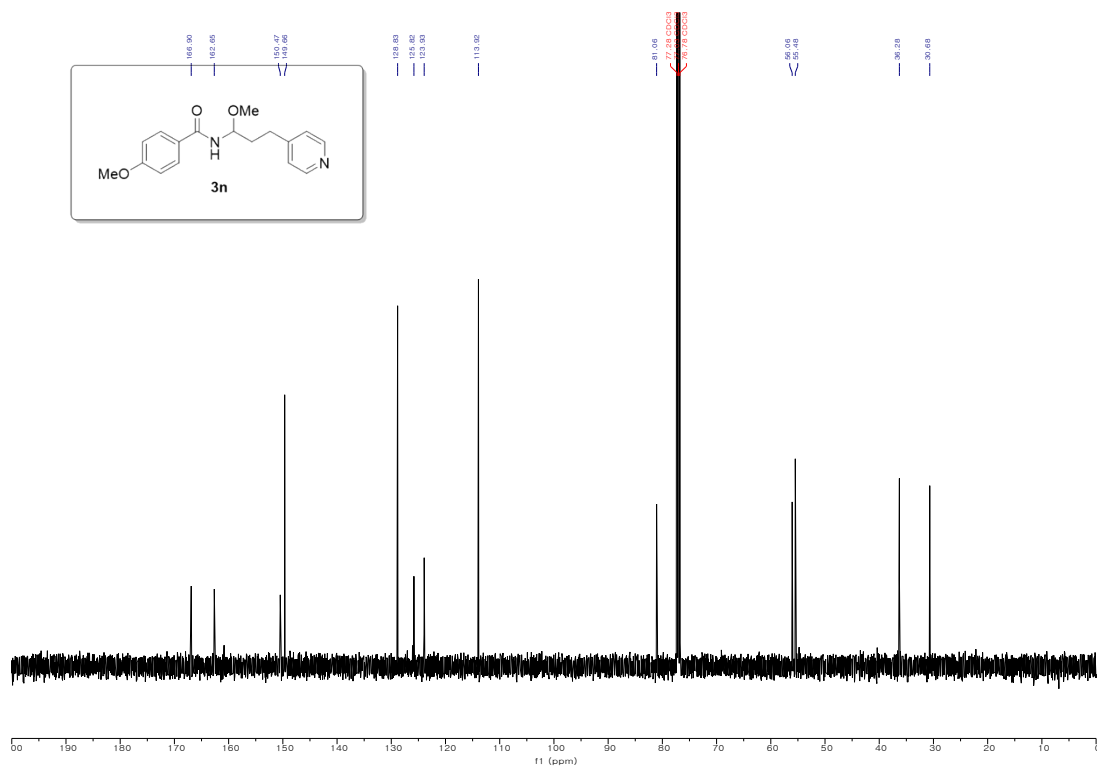


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(pyridin-4-yl)propyl)benzamide (3n)

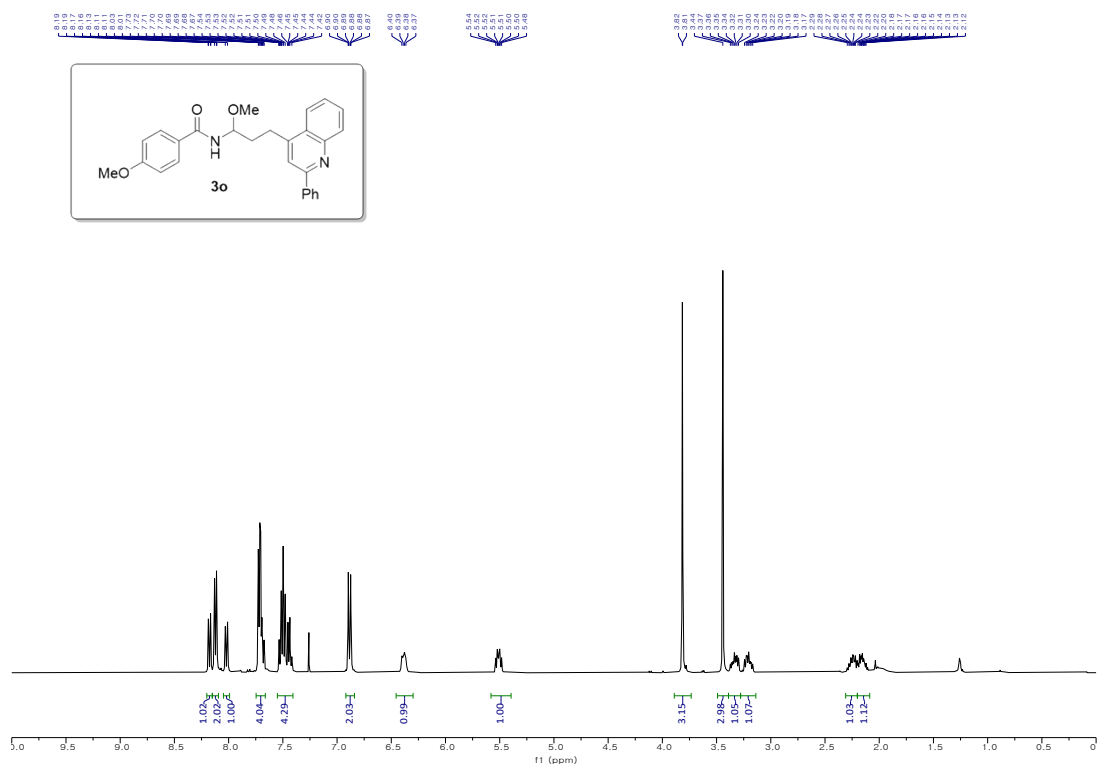


400 MHz, ¹H NMR in CDCl₃

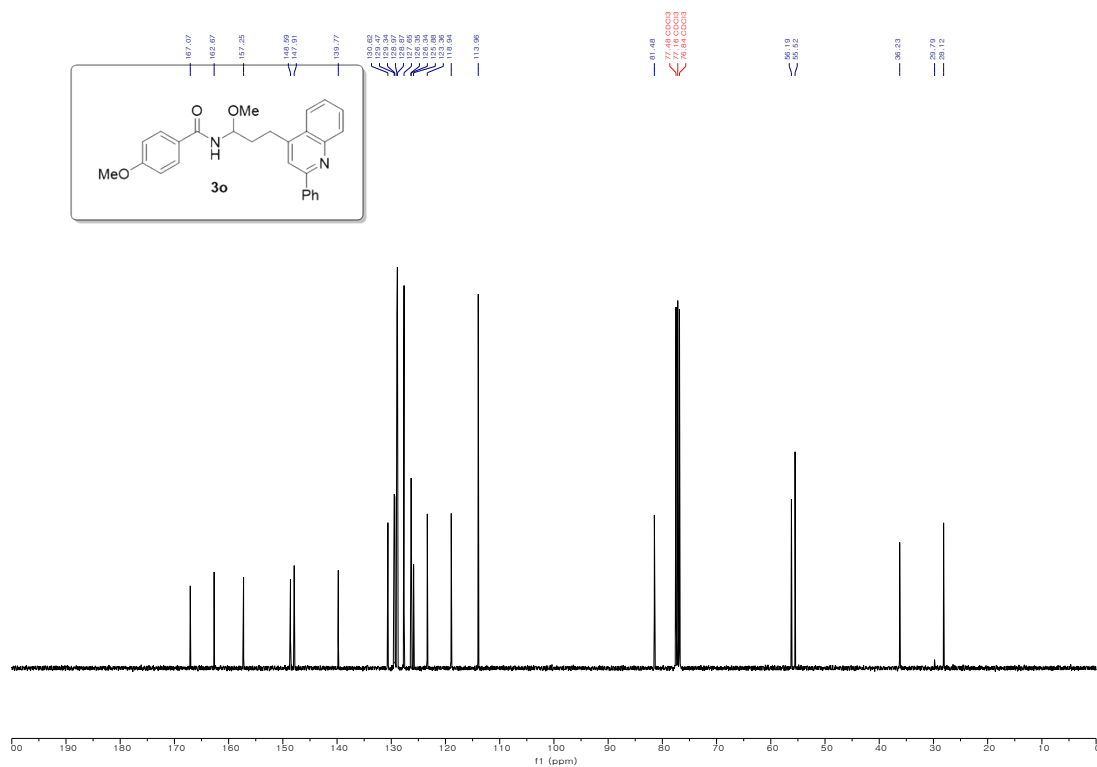


125 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(2-phenylquinolin-4-yl)propyl)benzamidebenzamide (3o).

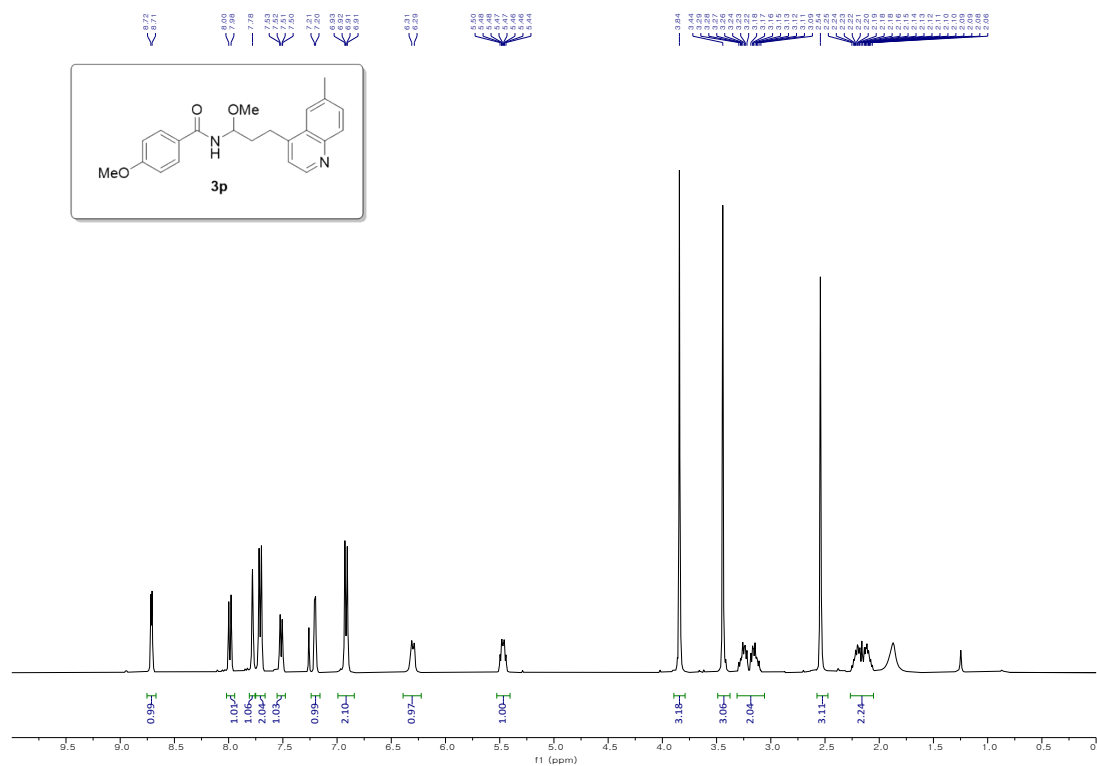


400 MHz, ¹H NMR in CDCl₃

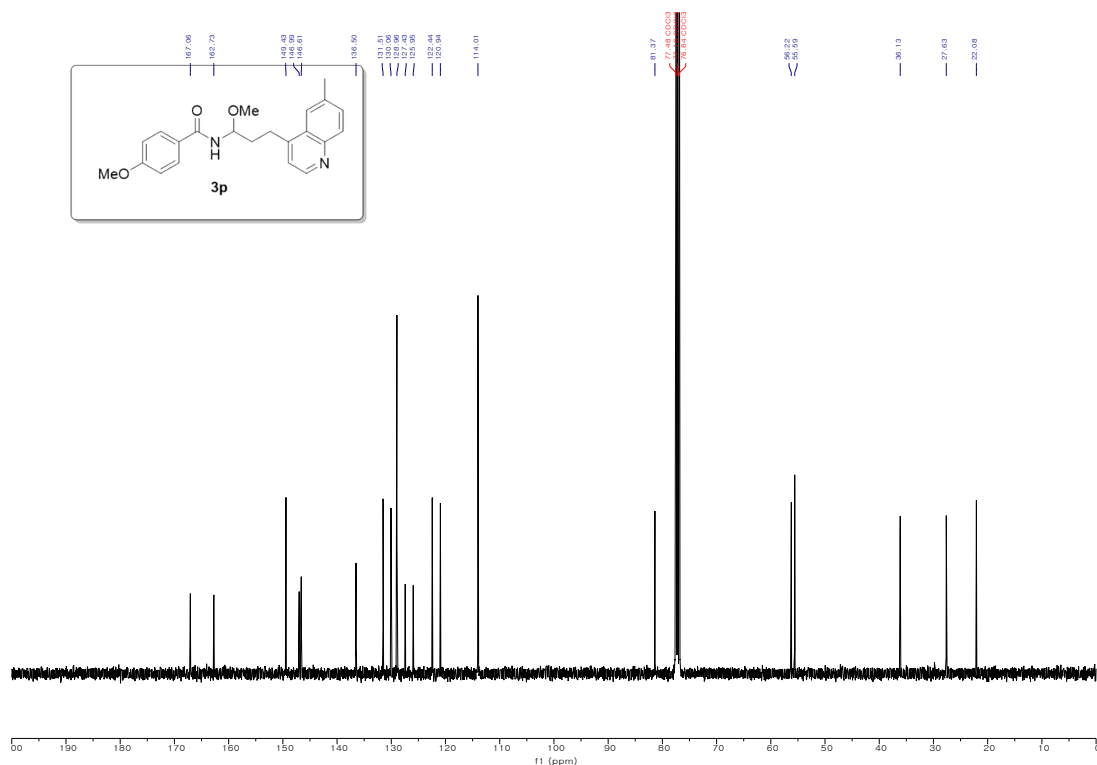


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-3-(6-methylquinolin-4-yl)propyl)benzamide (3p)

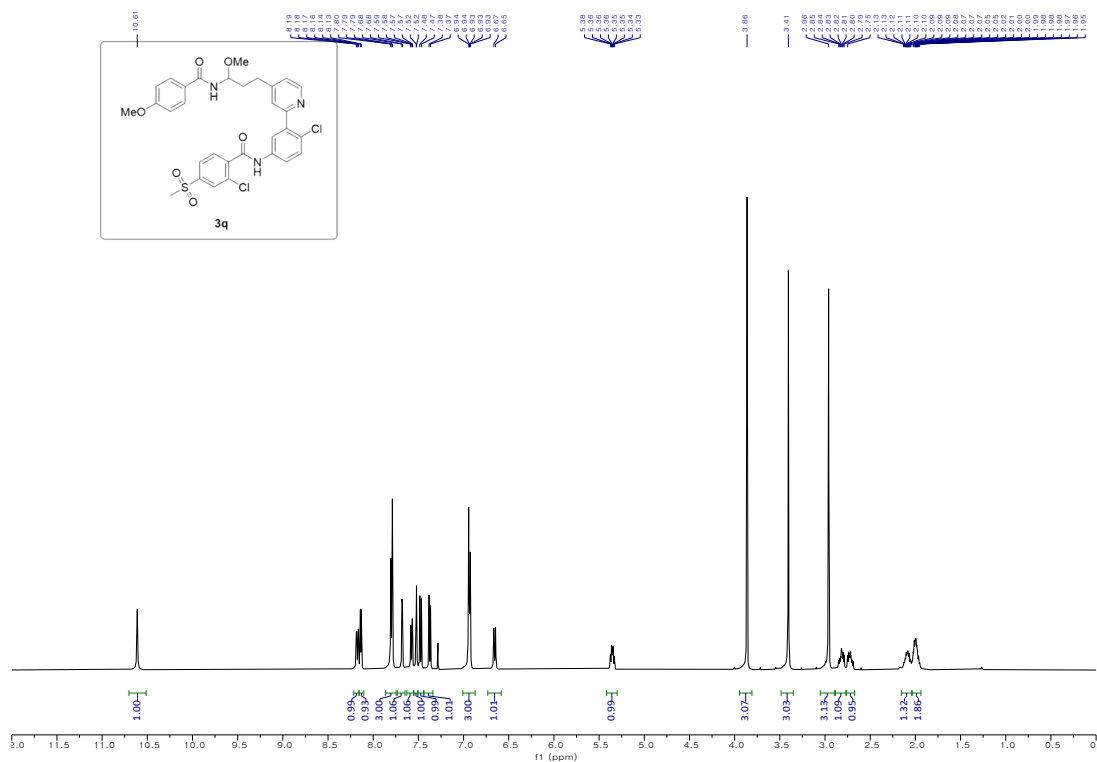


400 MHz, ¹H NMR in CDCl₃

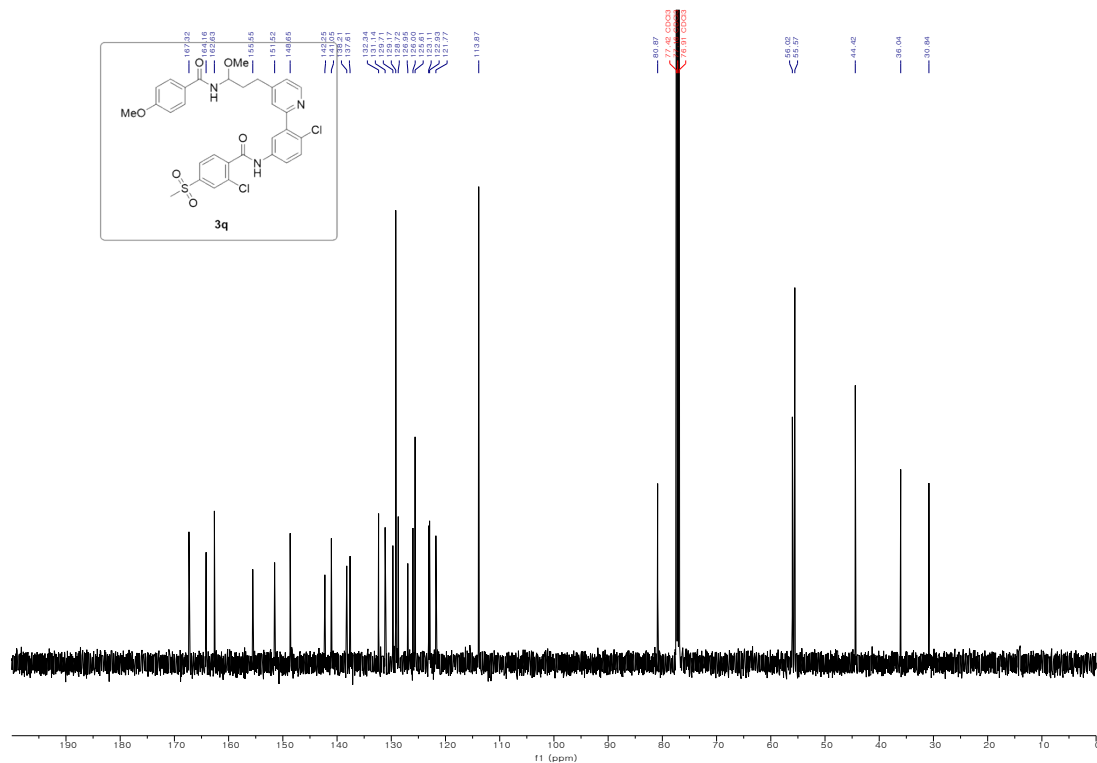


100 MHz, ¹³C NMR in CDCl₃

2-chloro-N-(4-chloro-3-(4-(3-methoxy-3-(4-methoxybenzamido)propyl)pyridin-2-yl)phenyl)-4-(methylsulfonyl)benzamidebenzamide (3q).

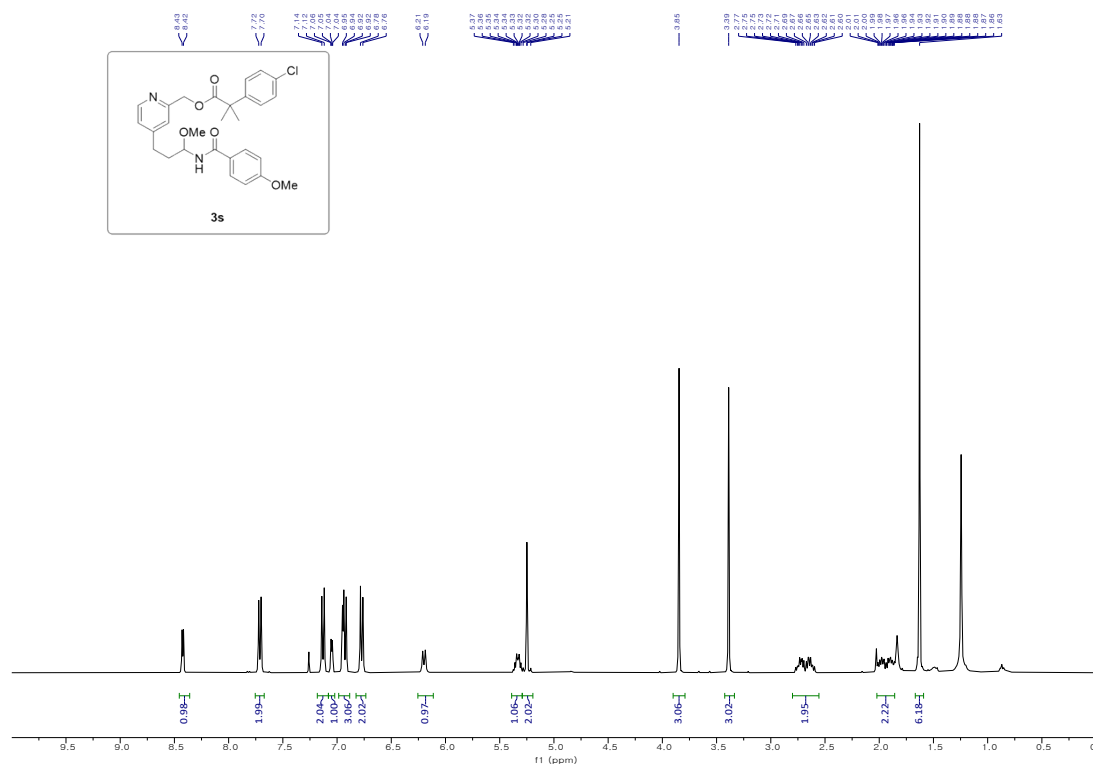


500 MHz, ¹H NMR in CDCl₃

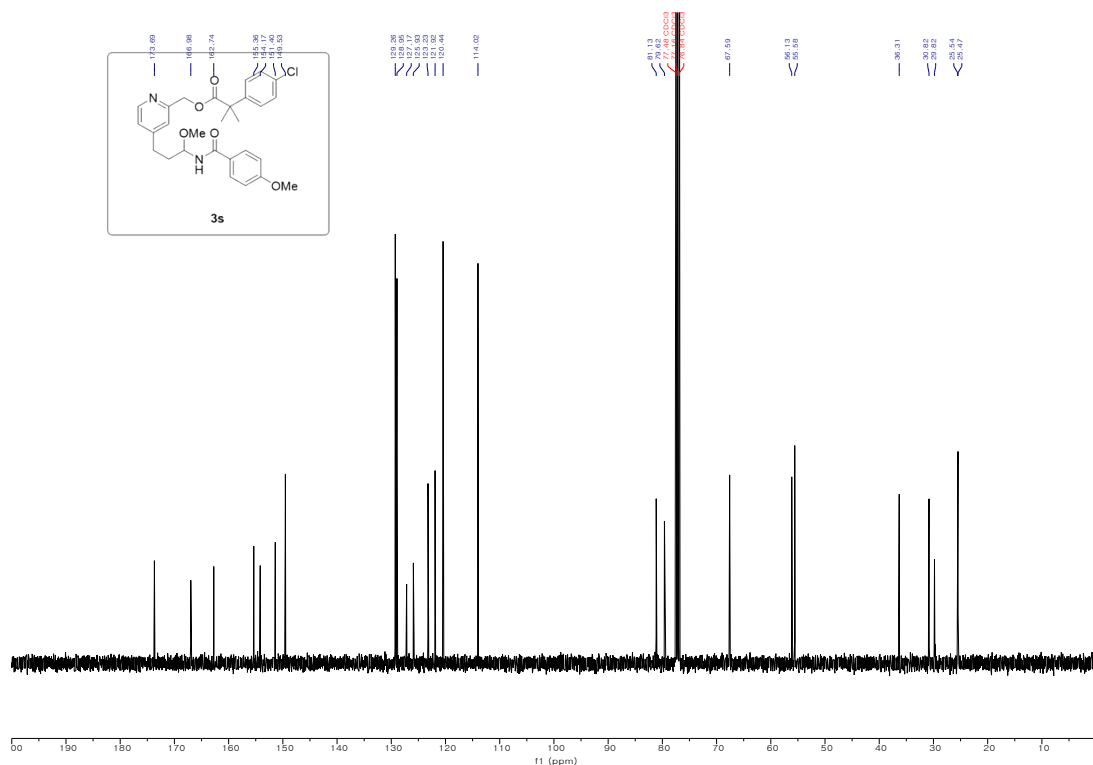


125 MHz, ¹³C NMR in CDCl₃

(4-(3-methoxy-3-(4-methoxybenzamido)propyl)pyridin-2-yl)methyl 2-(4-chlorophenyl)-2-methylpropanoate (3s).

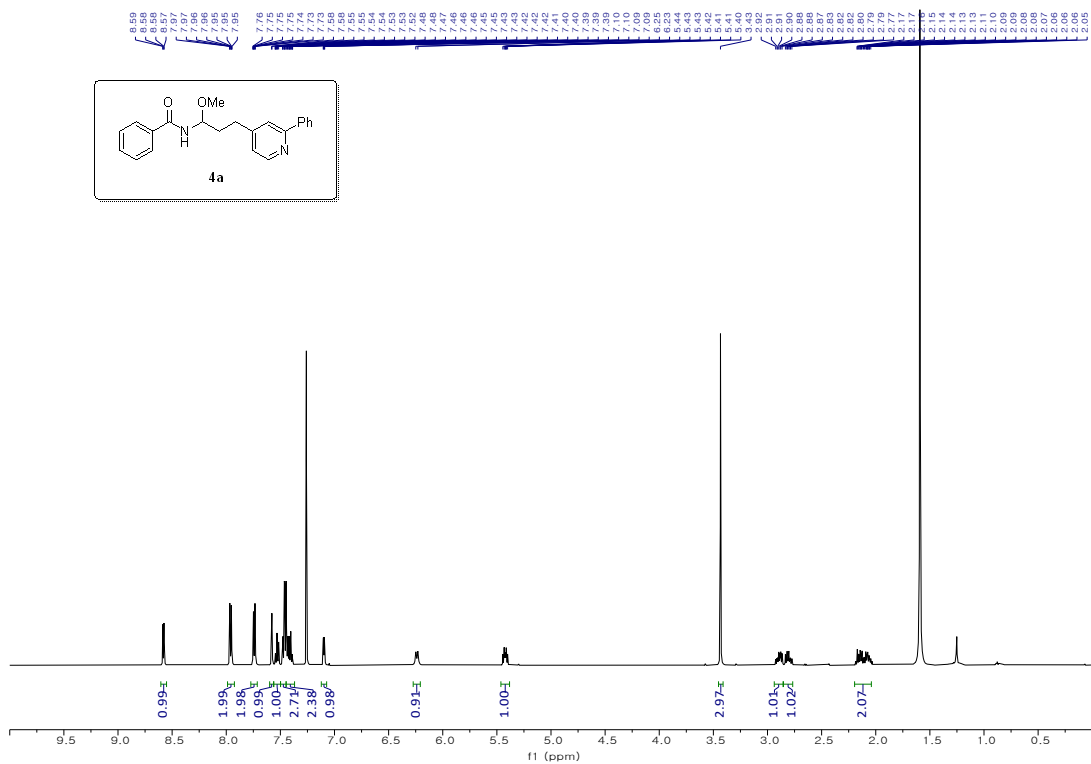


400 MHz, ¹H NMR in CDCl₃

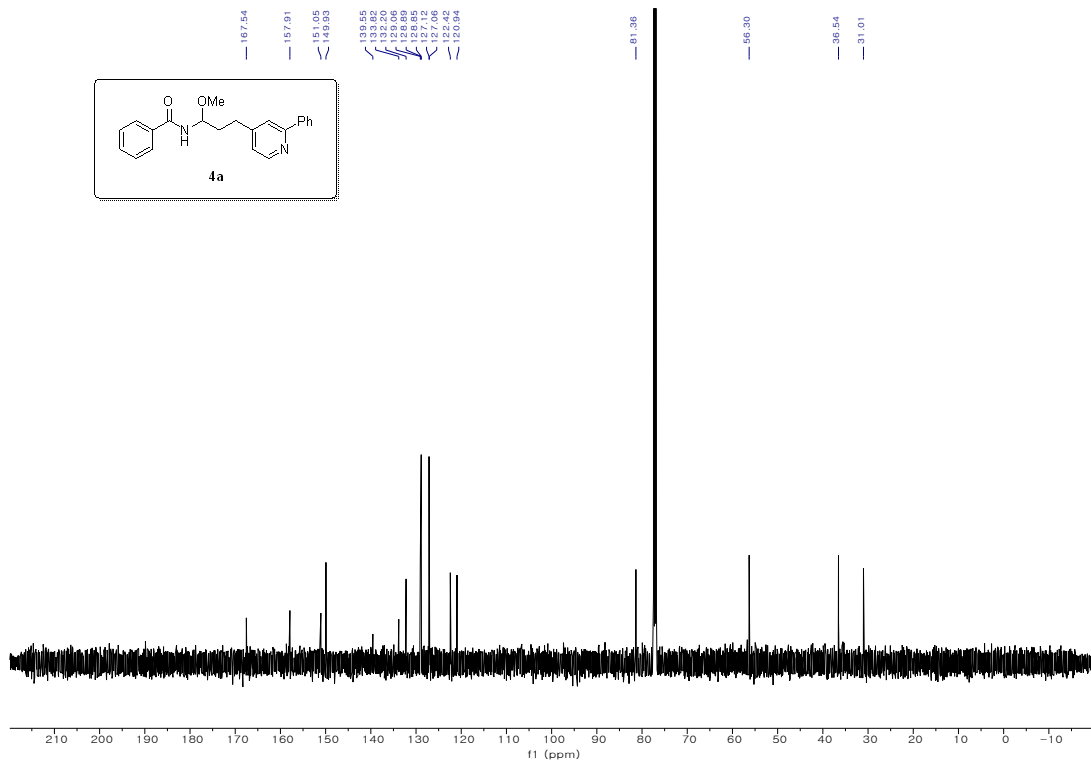


100 MHz, ¹³C NMR in CDCl₃

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4a)

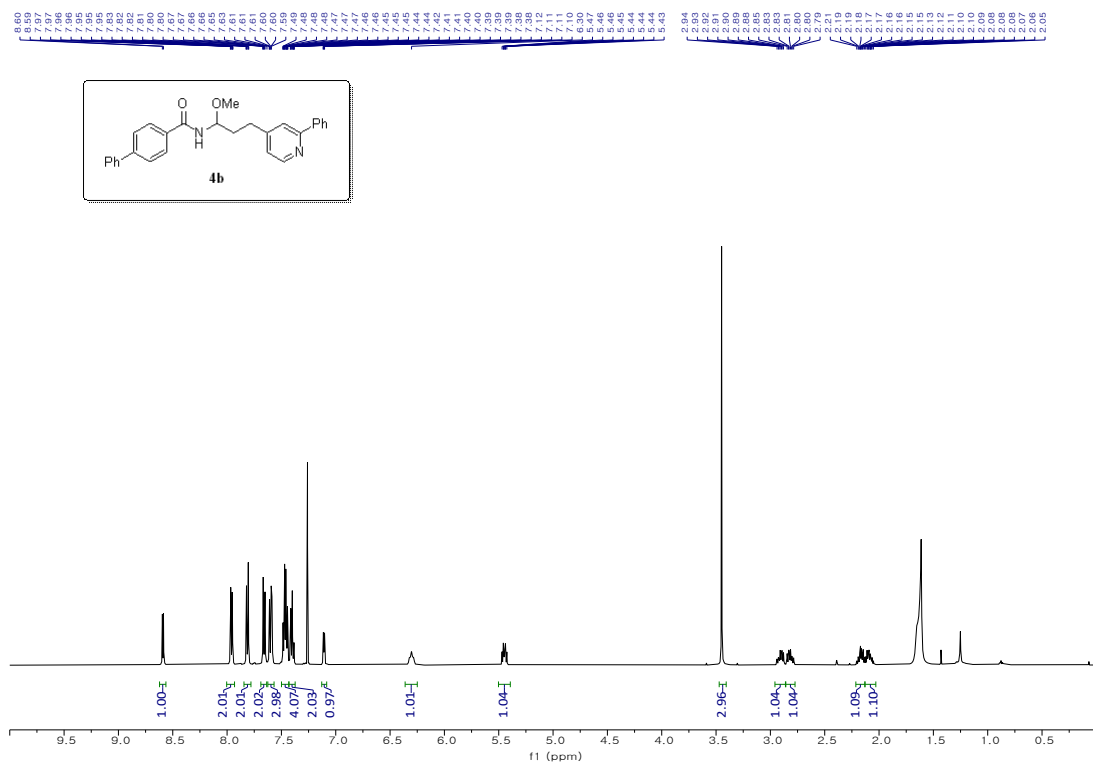


500 MHz, ^1H NMR in CDCl_3

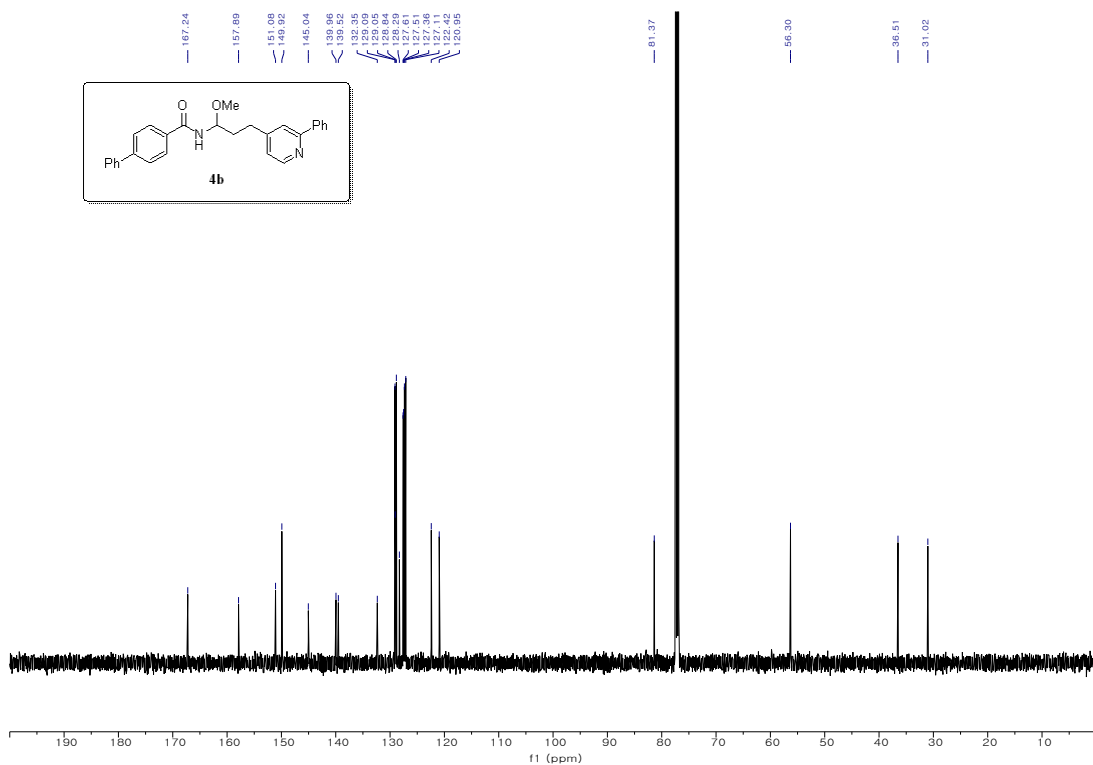


125 MHz, ^{13}C NMR in CDCl_3

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-[1,1'-biphenyl]-4-carboxamide (4b)

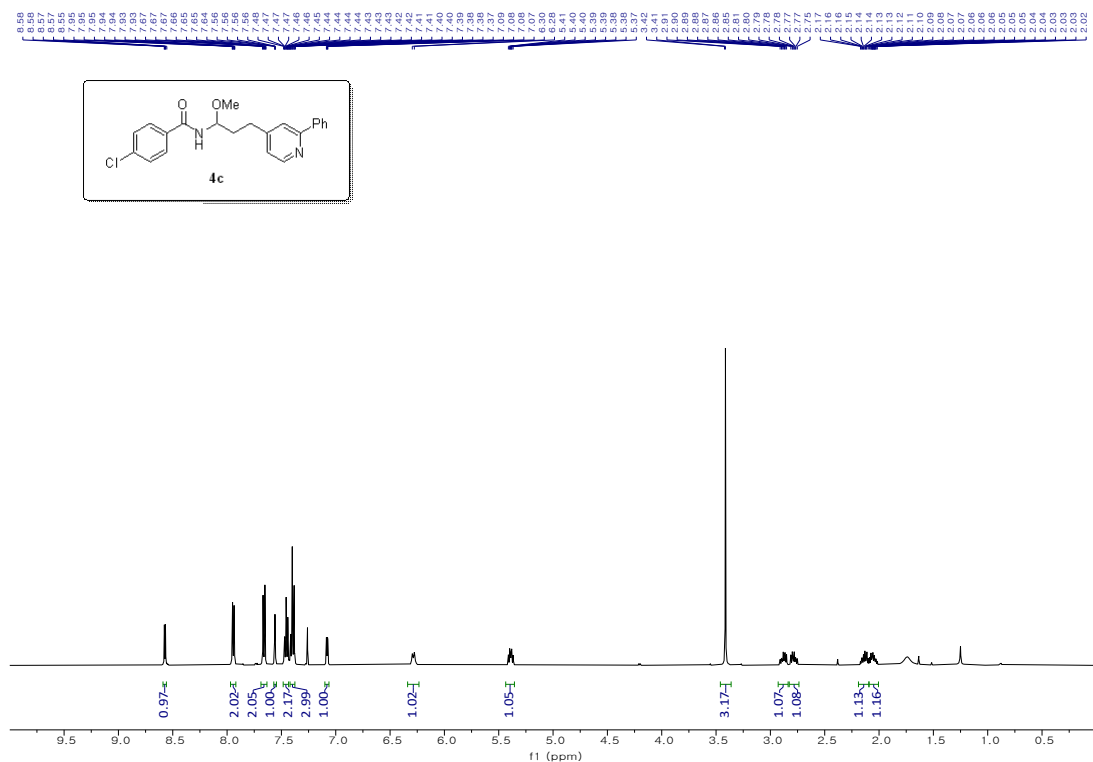


500 MHz, ¹H NMR in CDCl₃

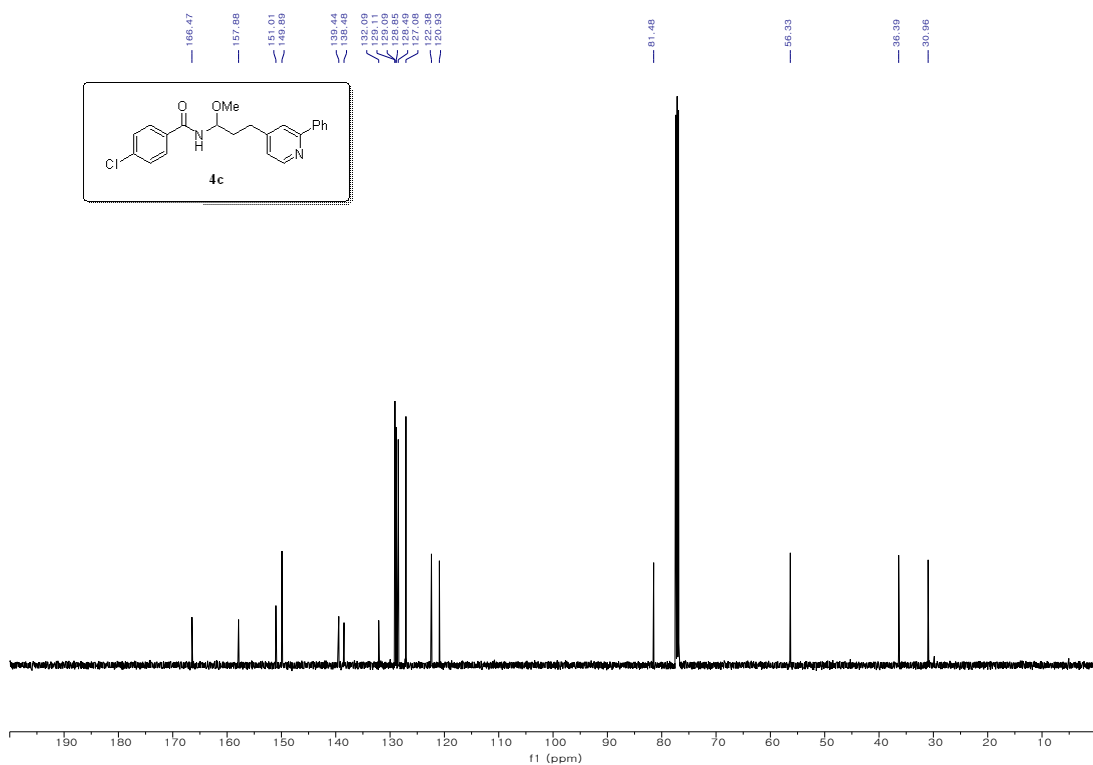


125 MHz, ¹³C NMR in CDCl₃

4-chloro-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4c)

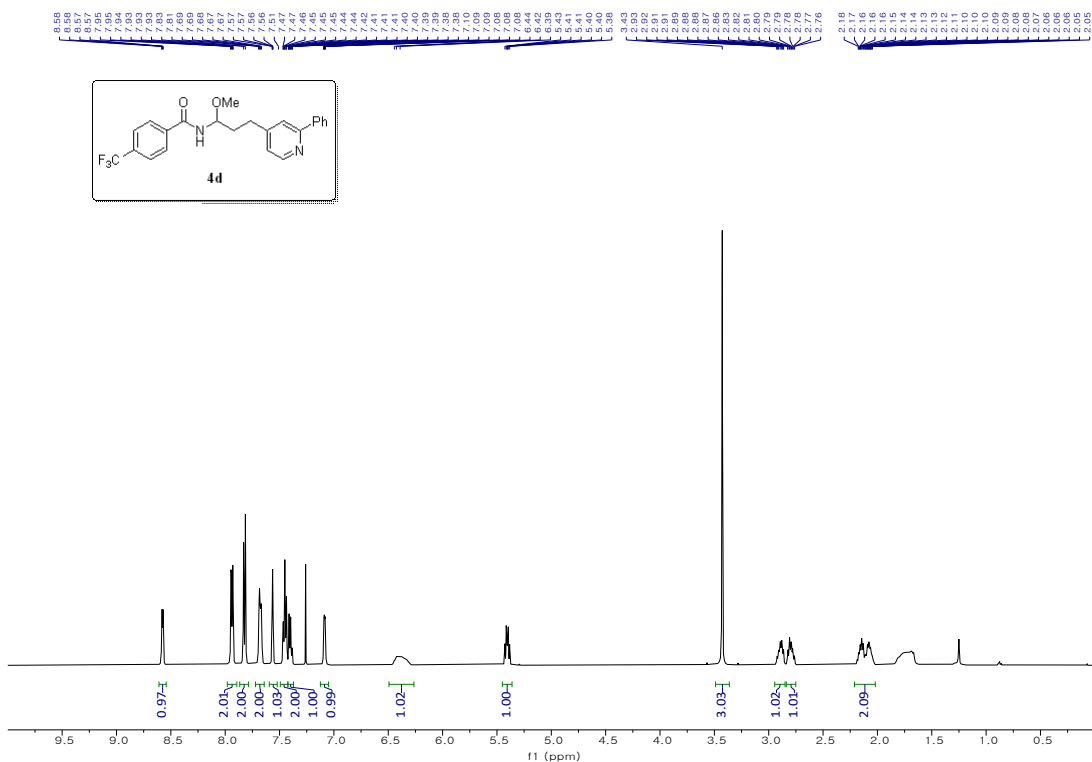


500 MHz, ¹H NMR in CDCl₃

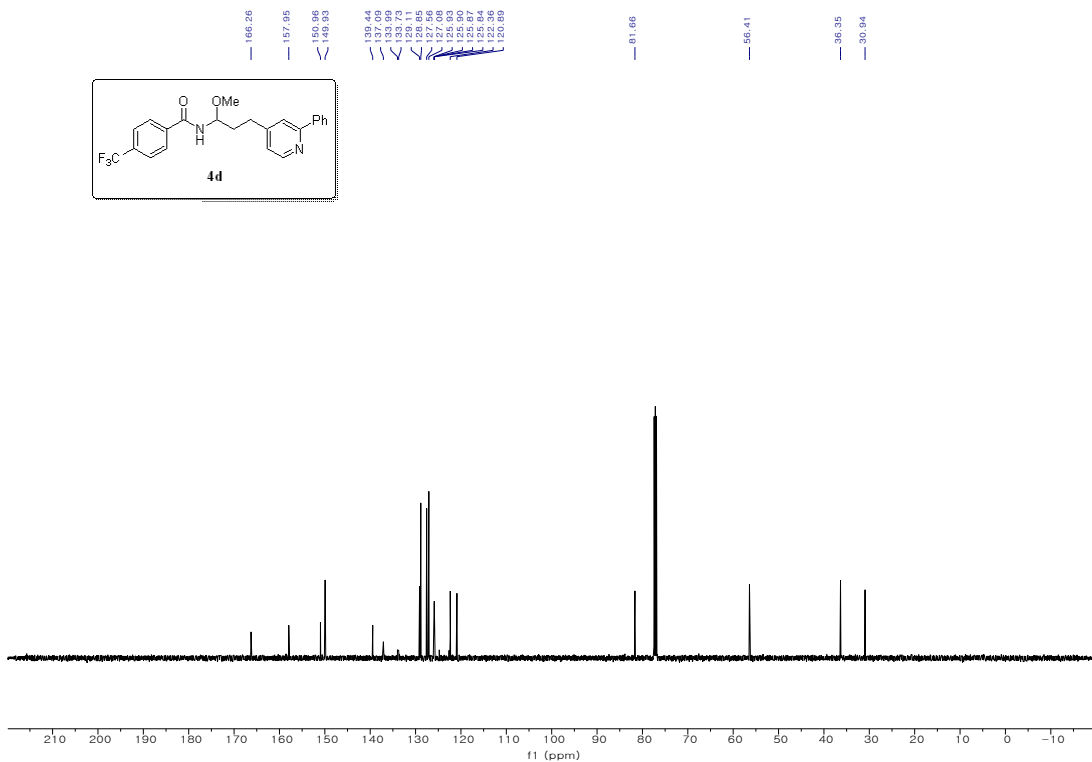


125 MHz, ¹³C NMR in CDCl₃

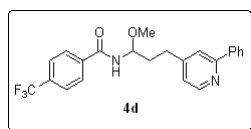
N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-4-(trifluoromethyl)benzamide (4d)



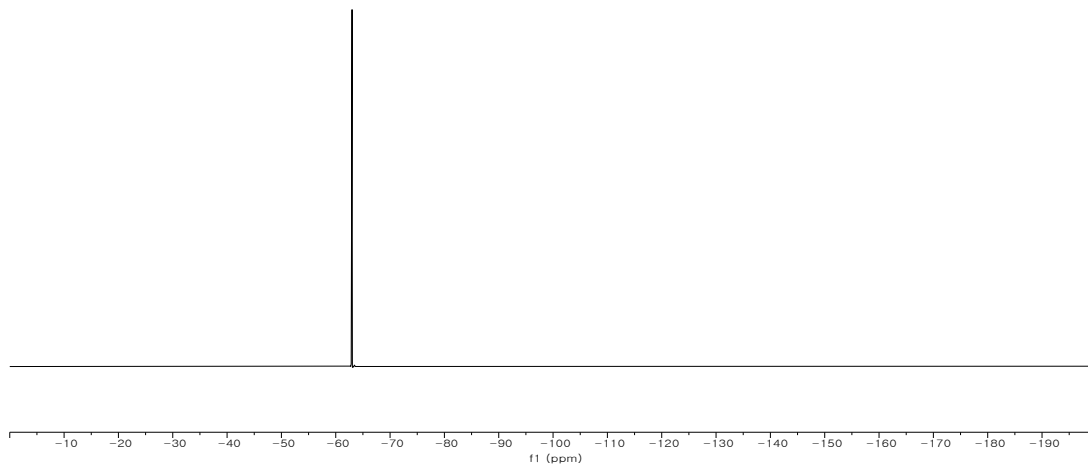
500 MHz, ^1H NMR in CDCl_3



125 MHz, ^{13}C NMR in CDCl_3

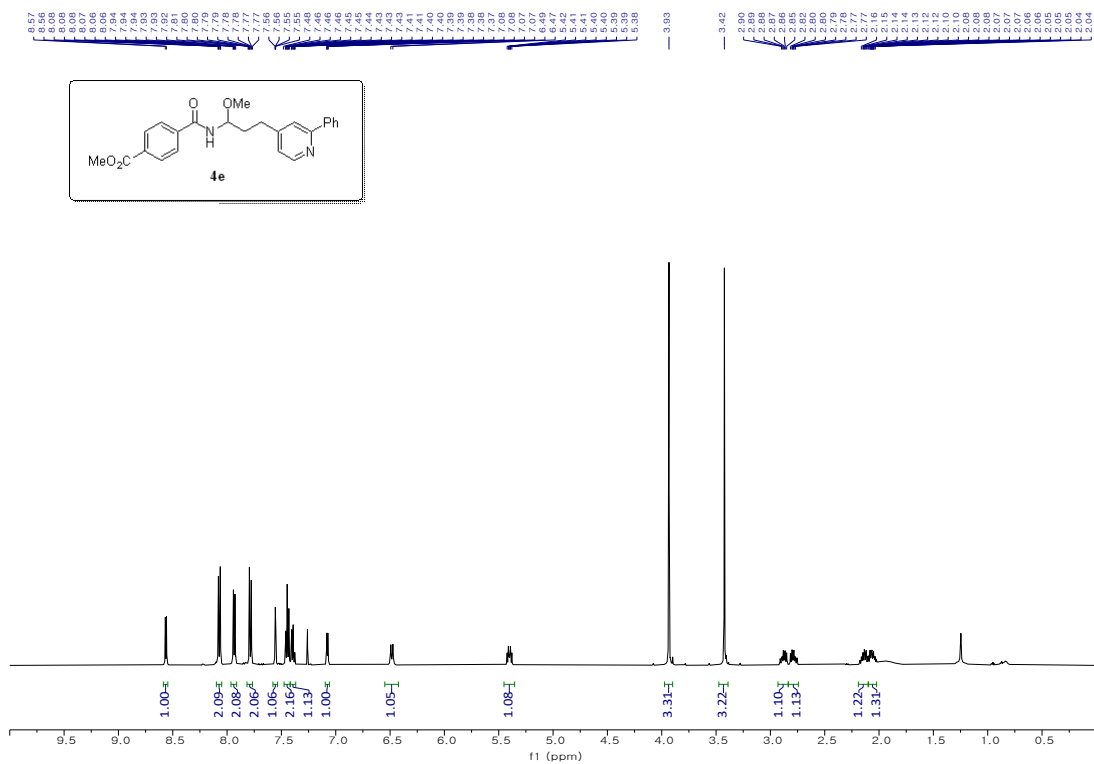


-63.01

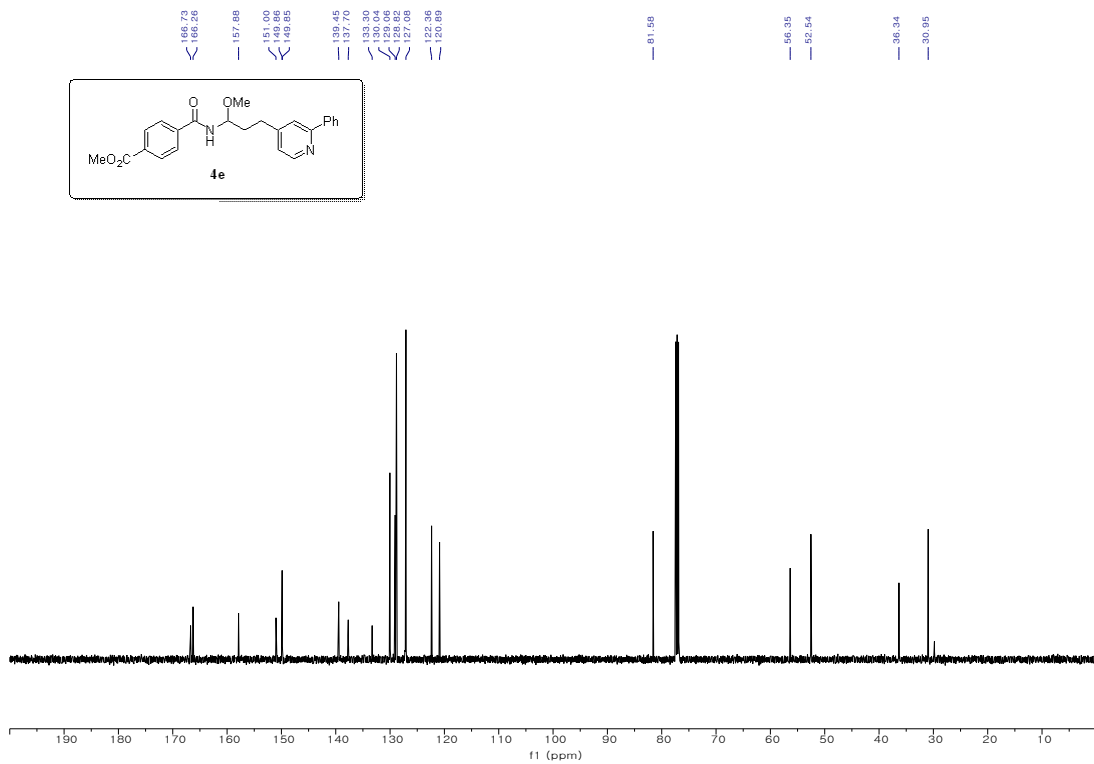


471 MHz, ^{19}F NMR in CDCl_3

methyl 4-((1-methoxy-3-(2-phenylpyridin-4-yl)propyl)carbamoyl)benzoate (4e)

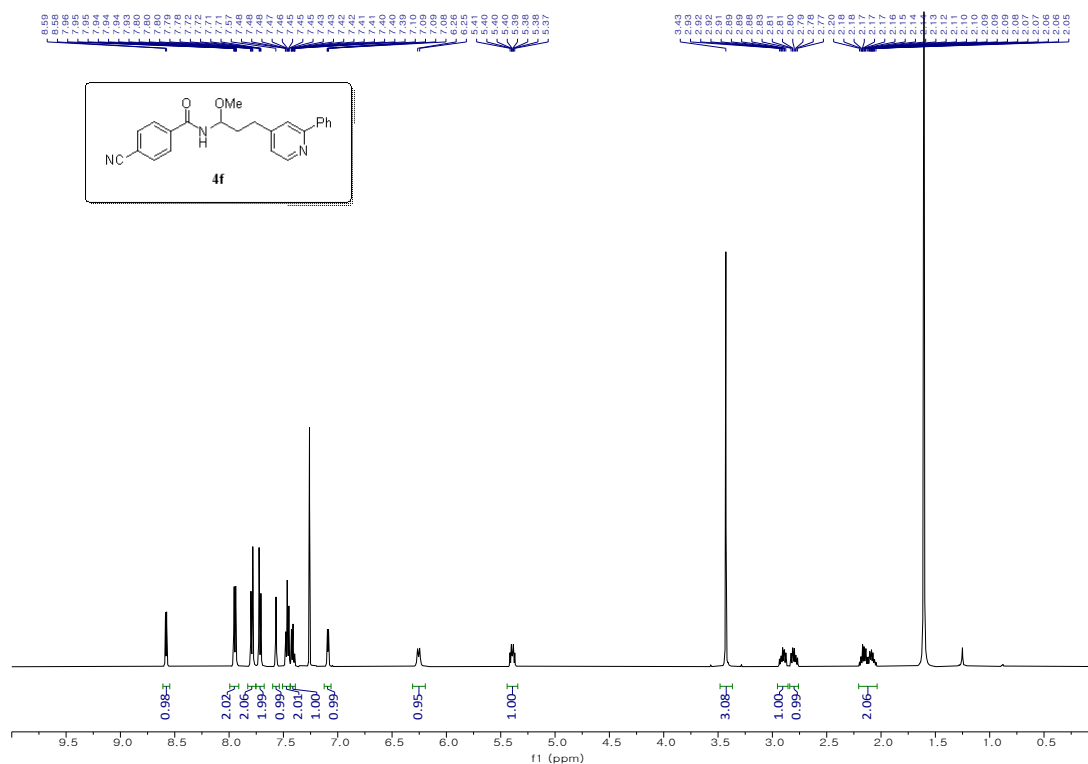


500 MHz, ^1H NMR in CDCl_3

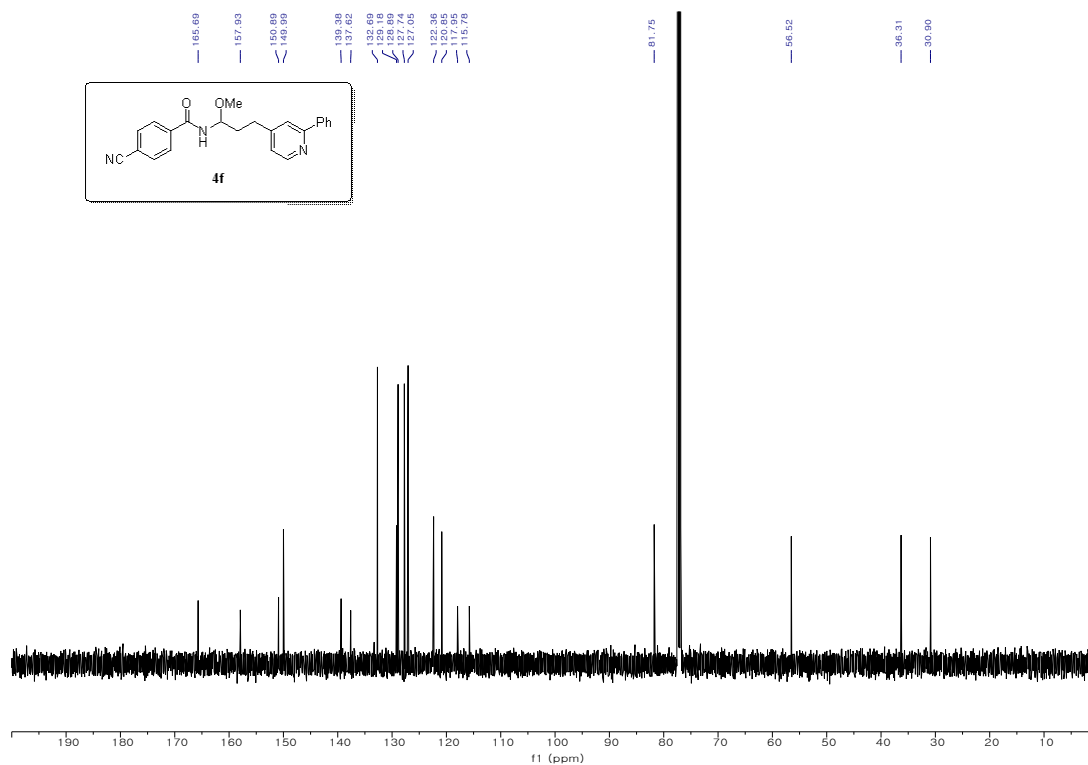


125 MHz, ^{13}C NMR in CDCl_3

4-cyano-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4f)

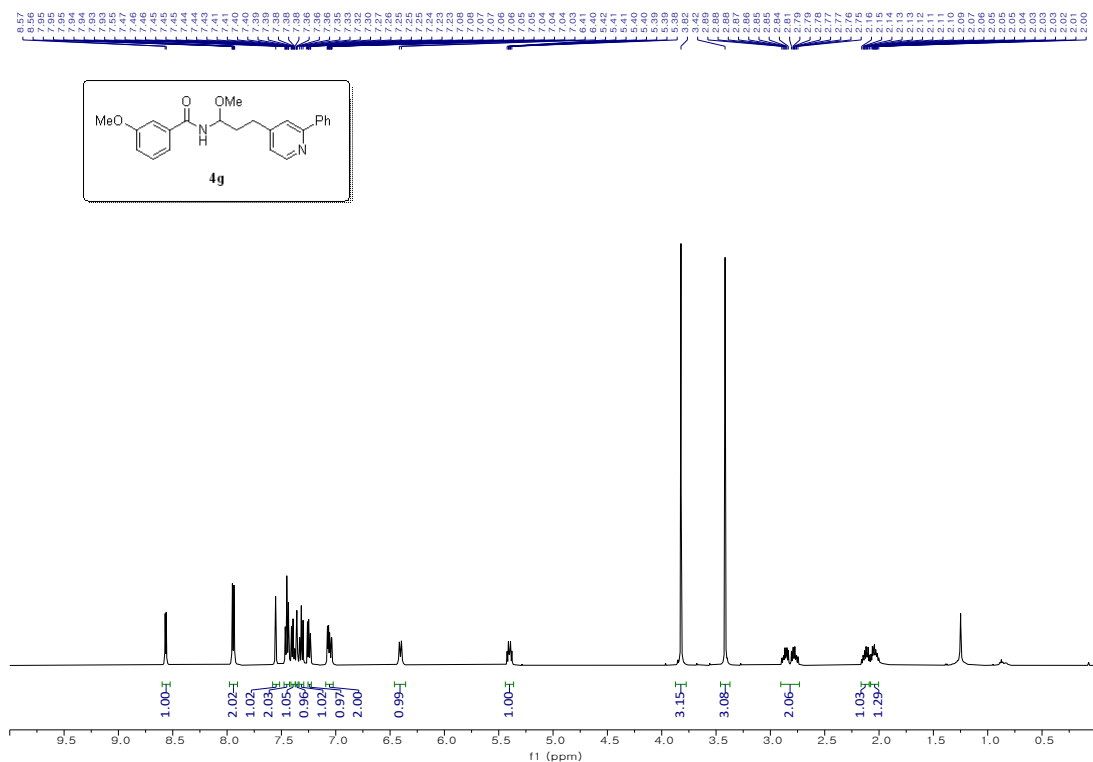


500 MHz, ¹H NMR in CDCl₃

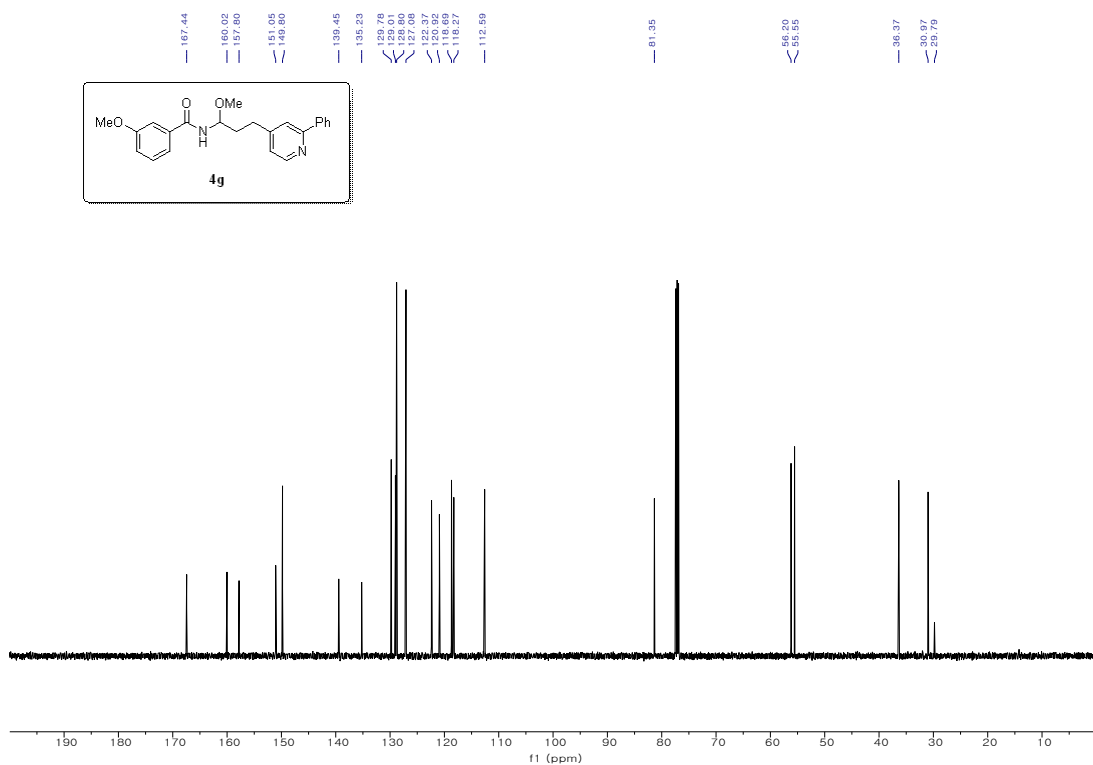


125 MHz, ¹³C NMR in CDCl₃

3-methoxy-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4g)

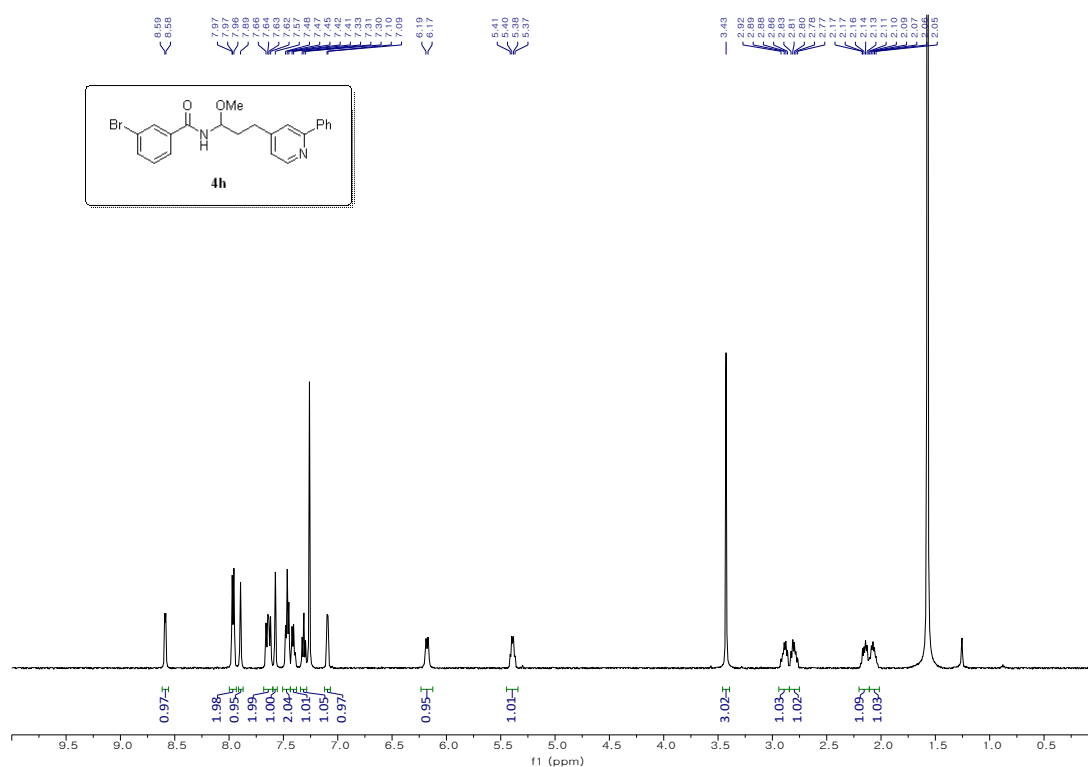


500 MHz, ¹H NMR in CDCl₃

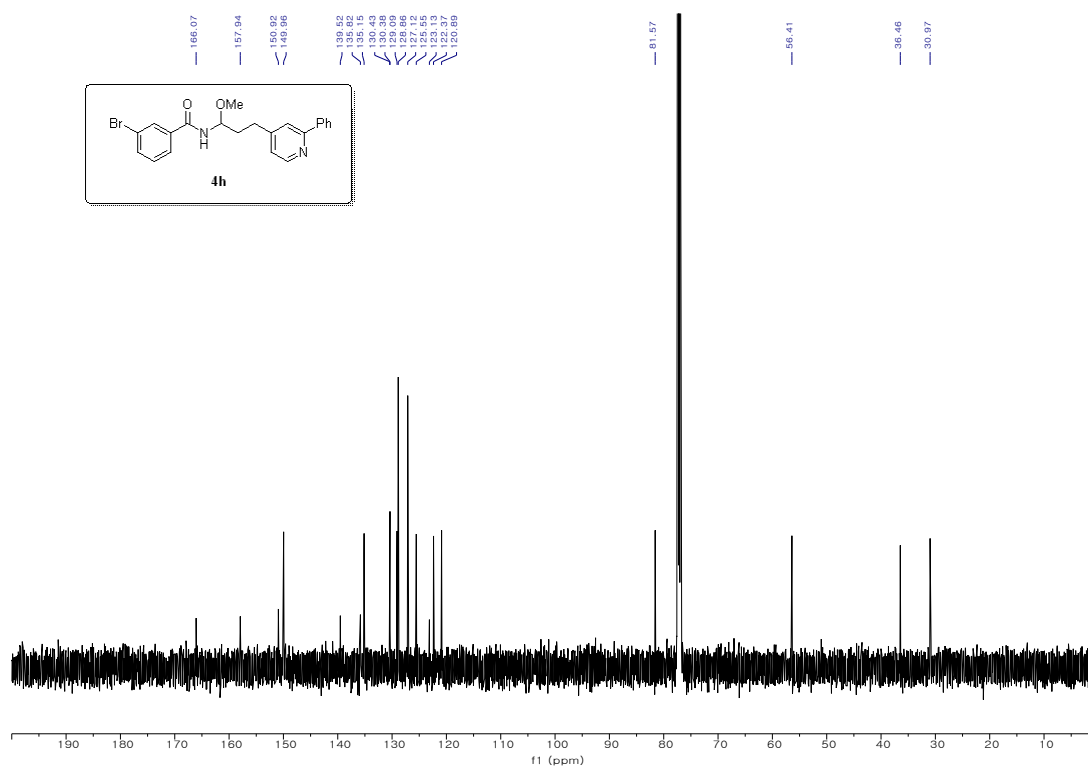


125 MHz, ¹³C NMR in CDCl₃

3-bromo-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4h)

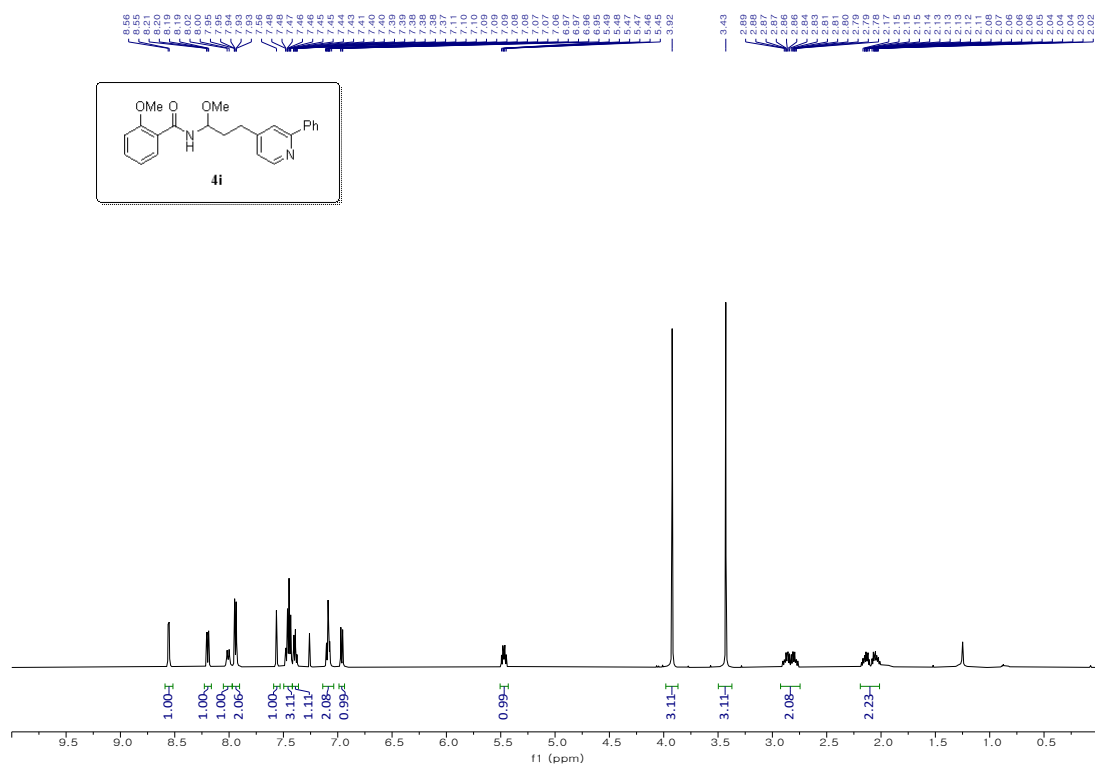


500 MHz, ¹H NMR in CDCl₃

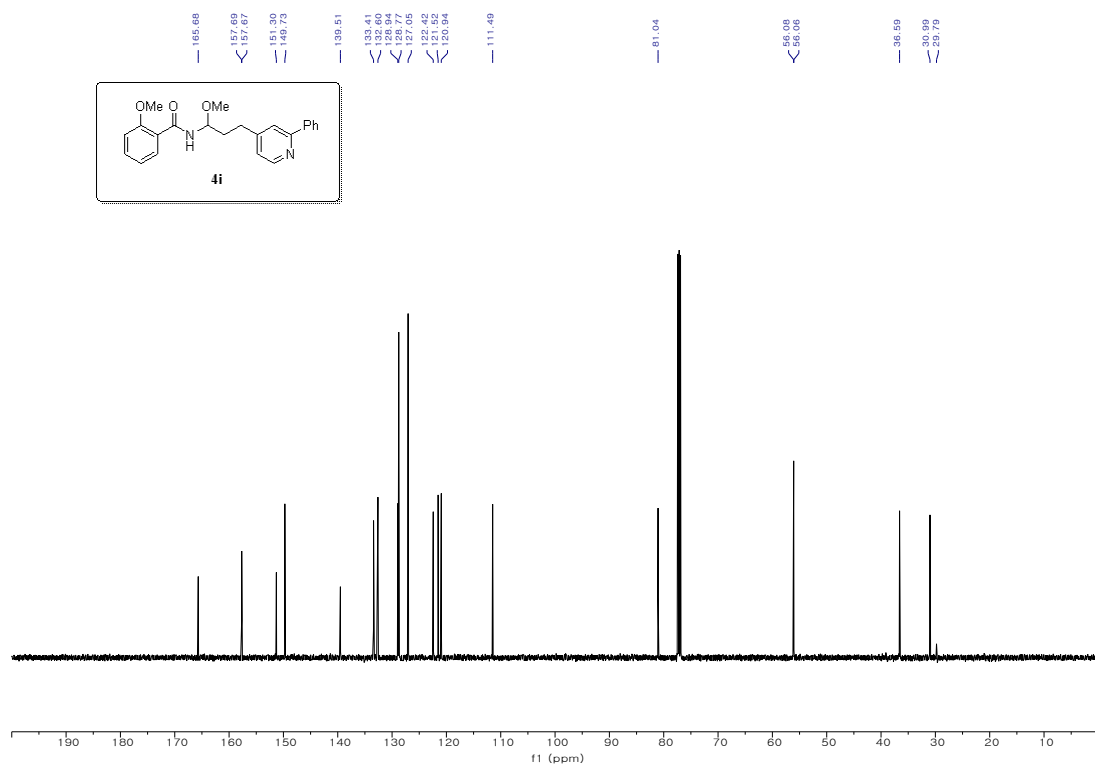


125 MHz, ¹³C NMR in CDCl₃

2-methoxy-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4i)

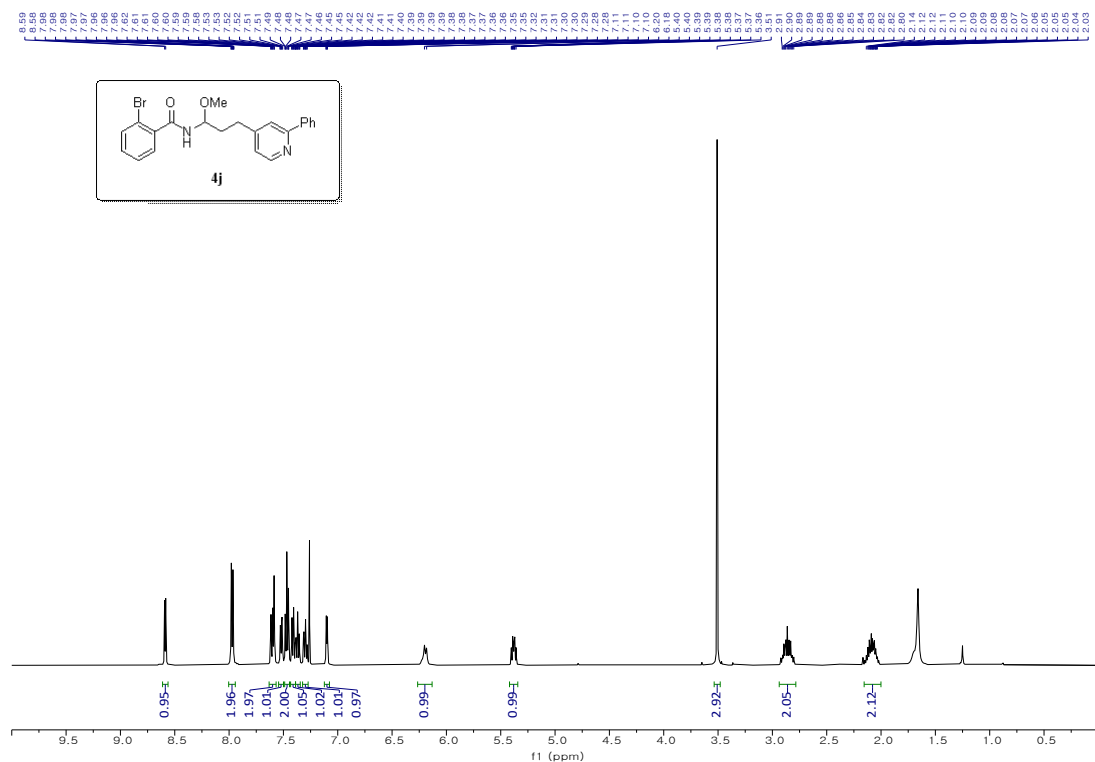


500 MHz, ¹H NMR in CDCl₃

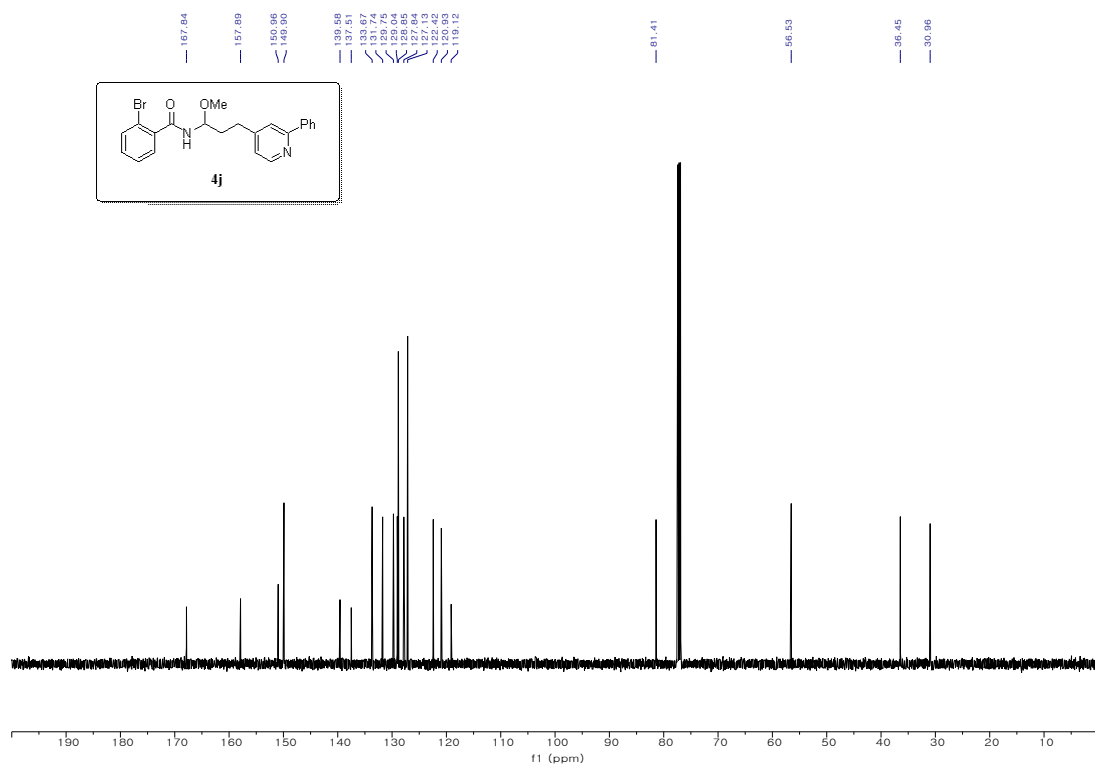


125 MHz, ¹³C NMR in CDCl₃

2-bromo-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4j)

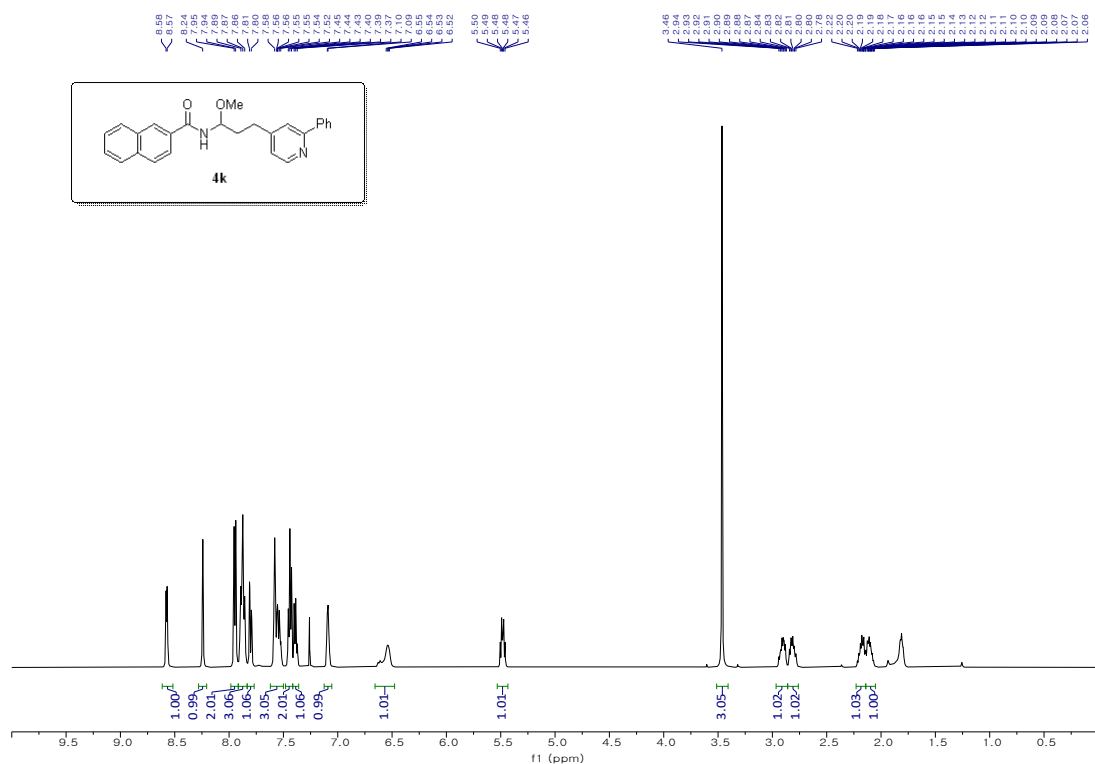


500 MHz, ¹H NMR in CDCl₃

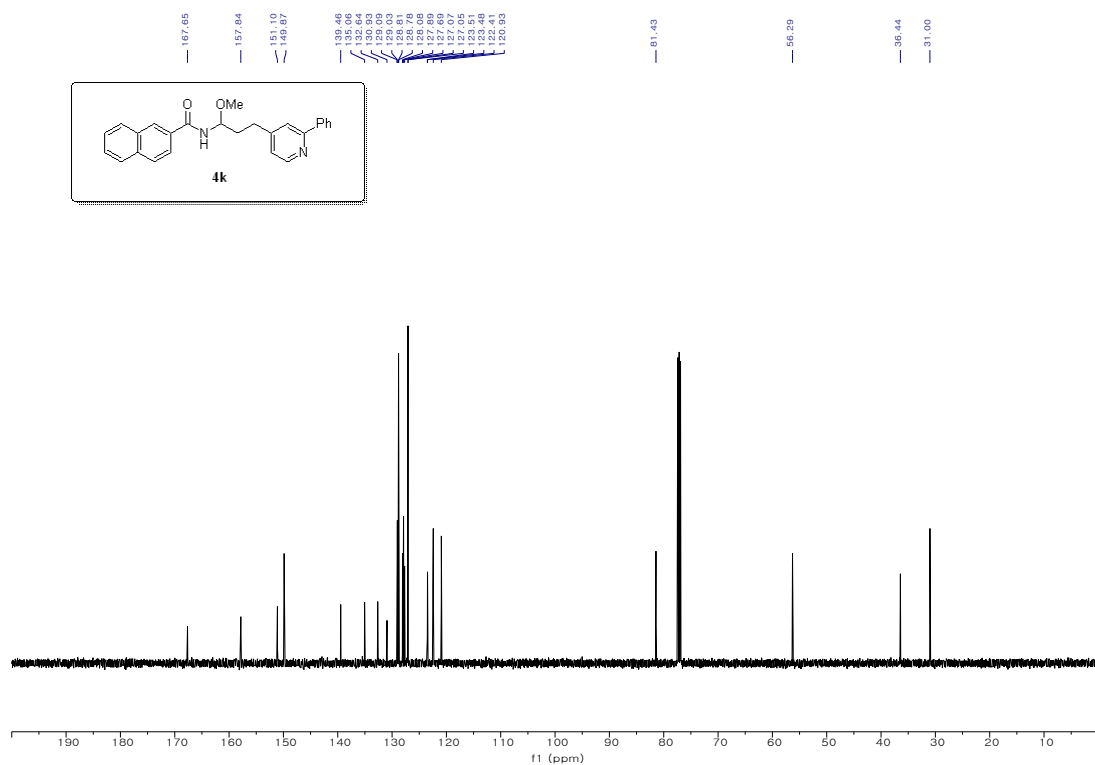


125 MHz, ¹³C NMR in CDCl₃

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-2-naphthamide (4k)

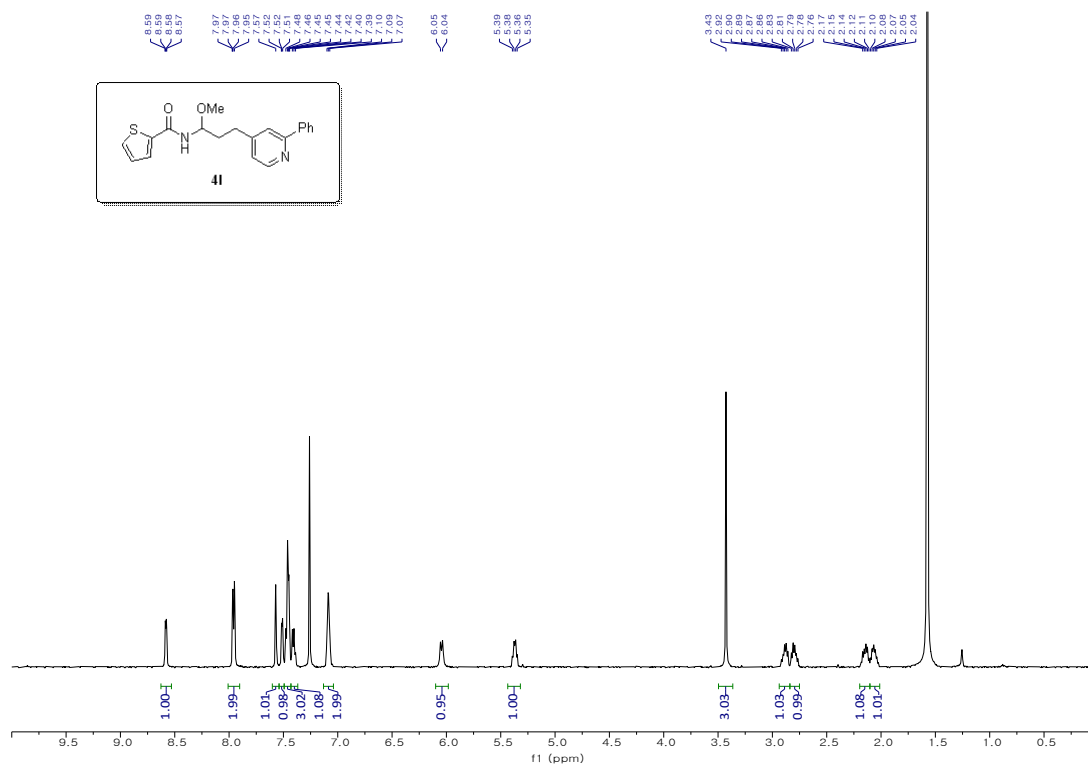


500 MHz, ¹H NMR in CDCl₃

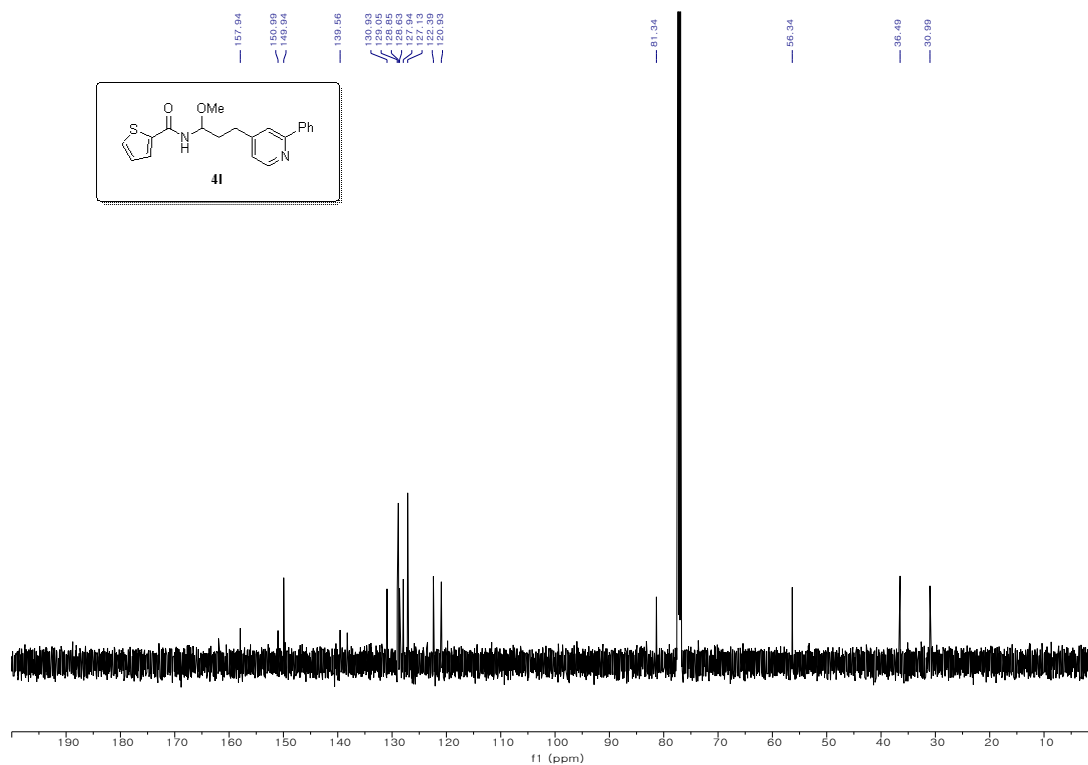


125 MHz, ¹³C NMR in CDCl₃

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)thiophene-2-carboxamide (4l)

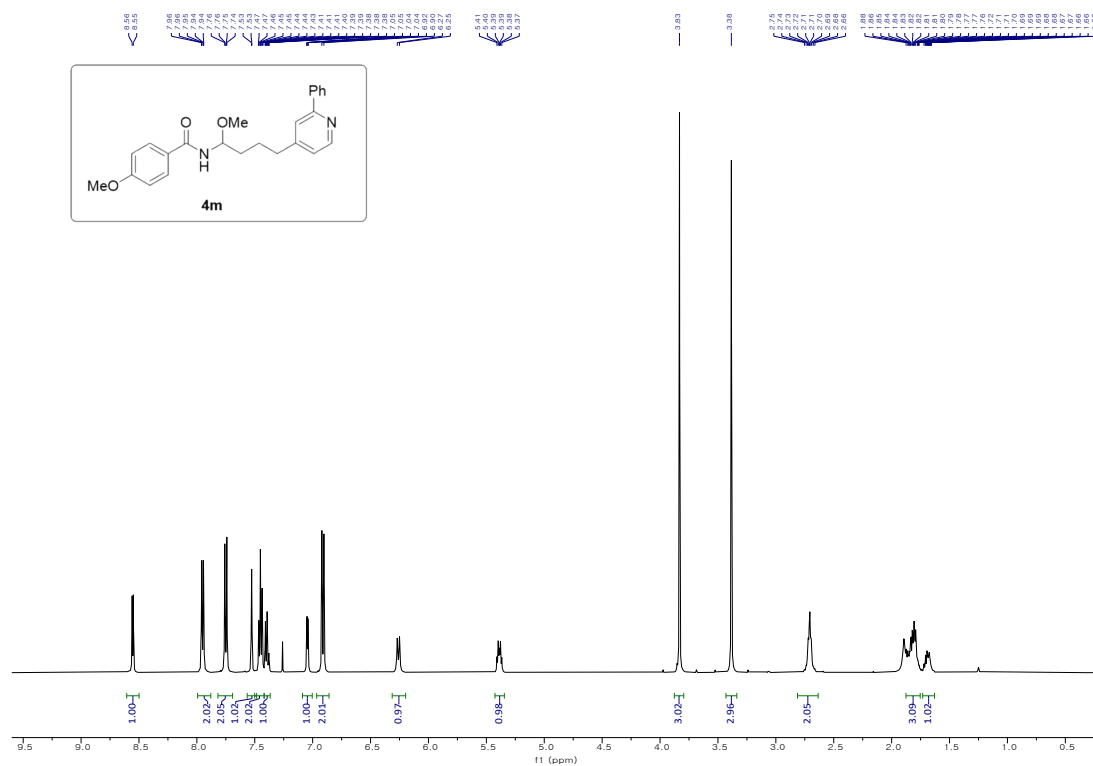


500 MHz, ¹H NMR in CDCl₃

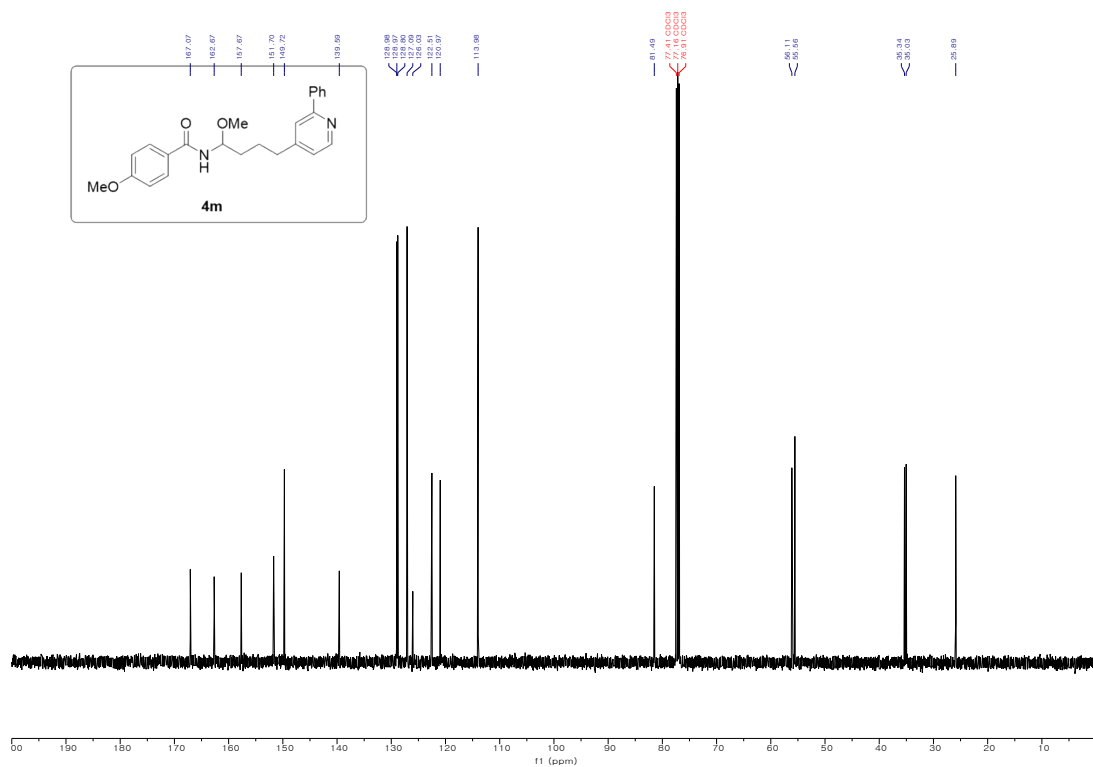


125 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-4-(2-phenylpyridin-4-yl)butyl)benzamide (4m).

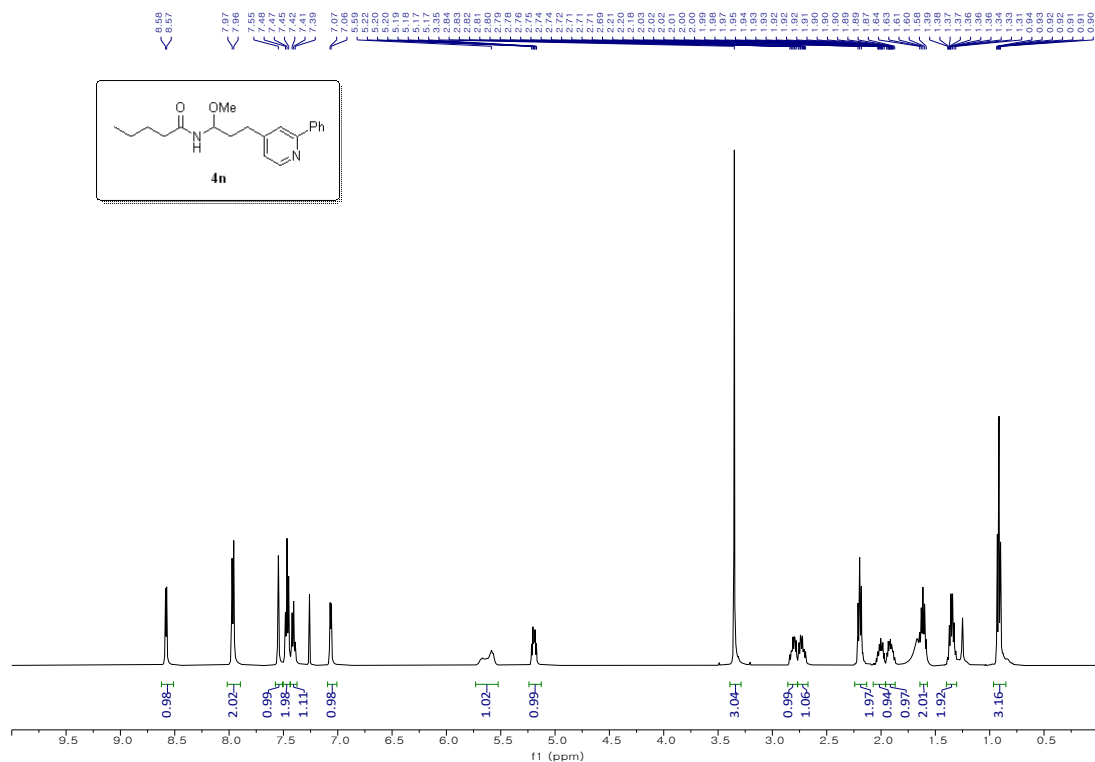


500 MHz, ¹H NMR in CDCl₃

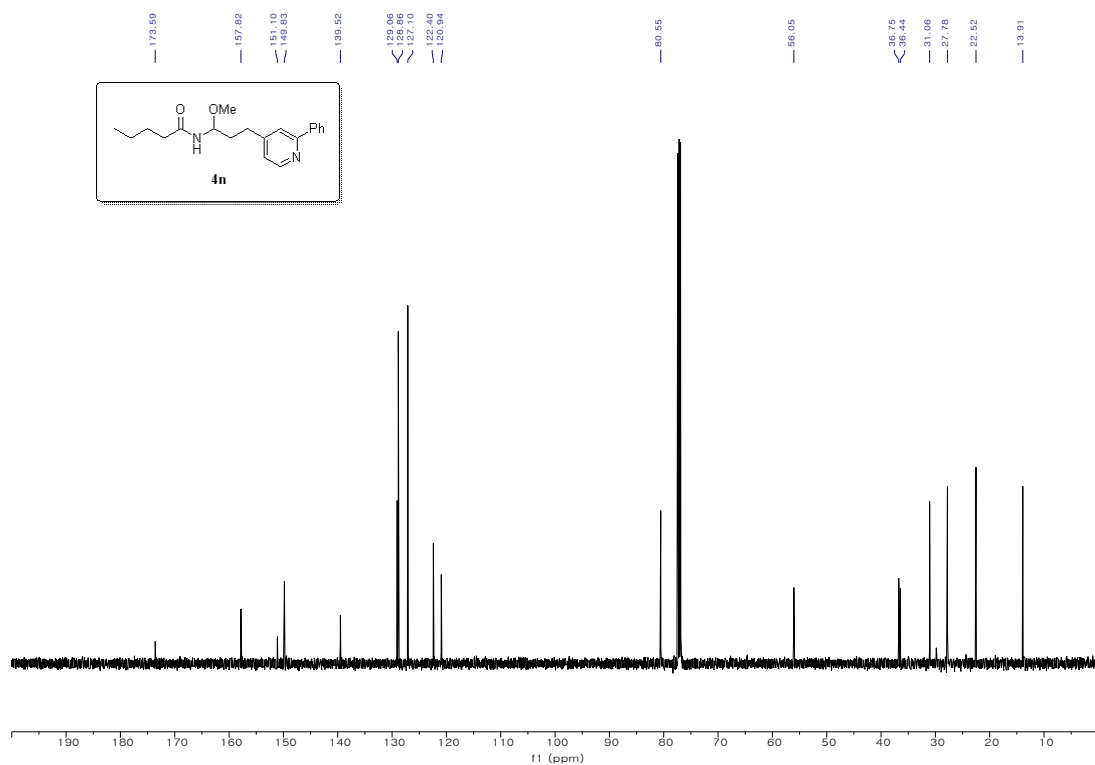


125 MHz, ¹³C NMR in CDCl₃

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)pentanamide (4n)

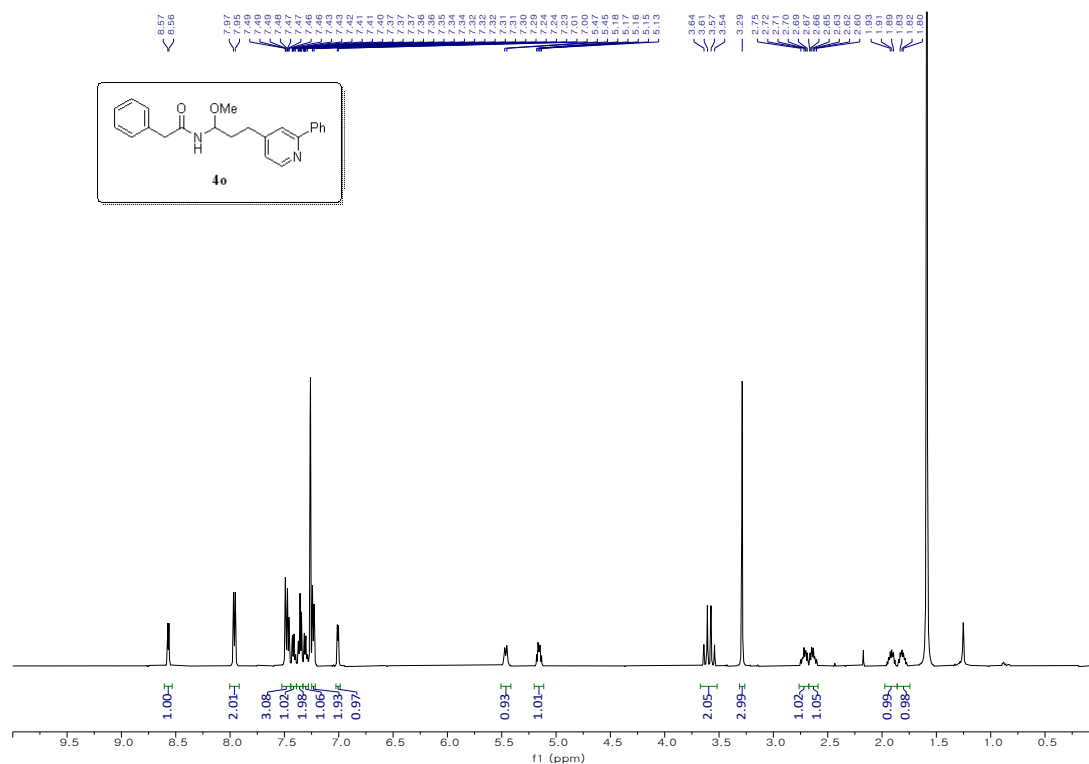


500 MHz, ¹H NMR in CDCl₃

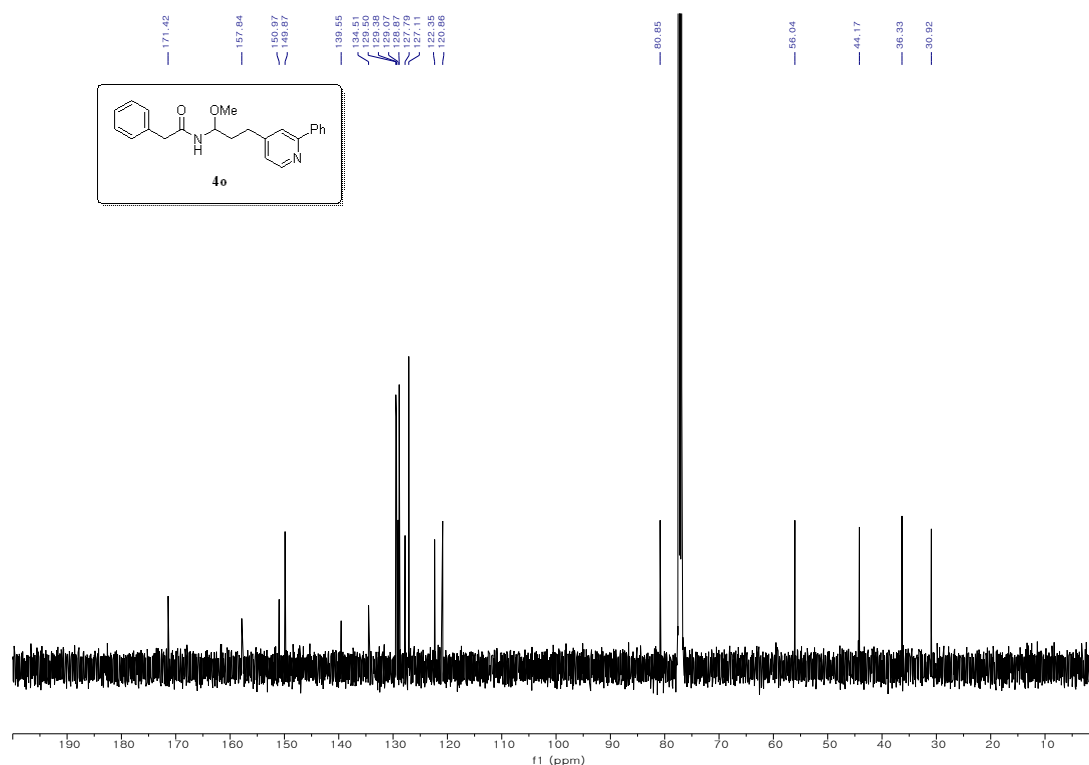


125 MHz, ¹³C NMR in CDCl₃

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-2-phenylacetamide (4o)

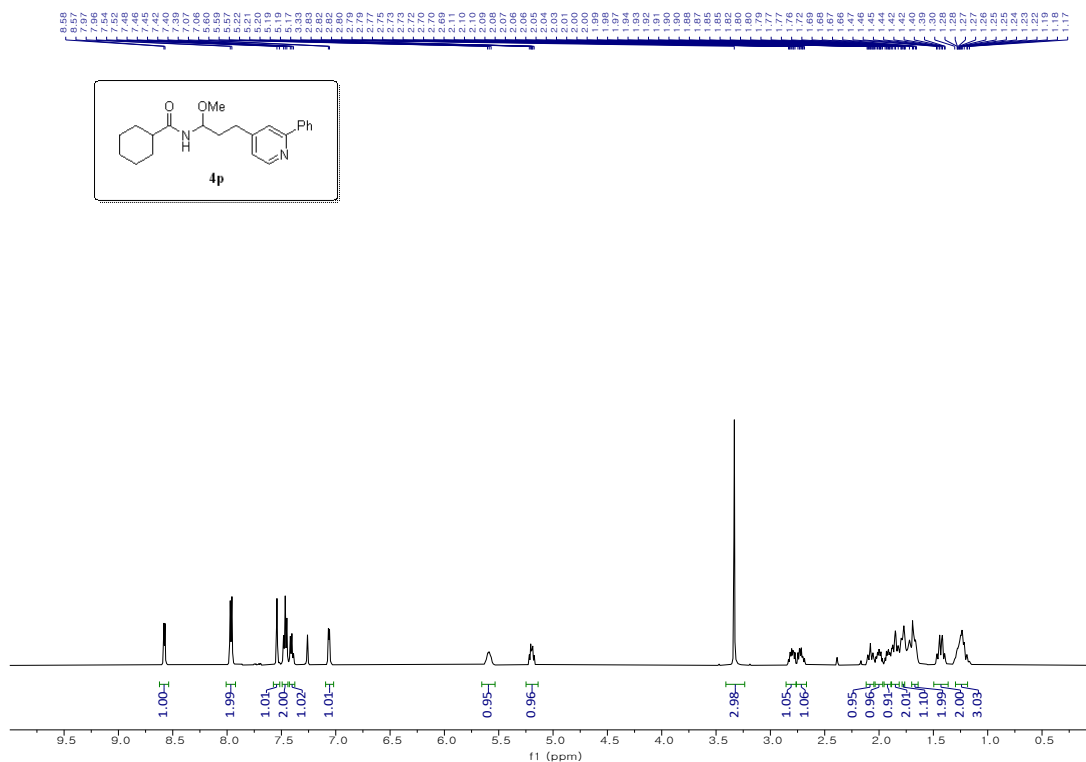


500 MHz, ¹H NMR in CDCl₃

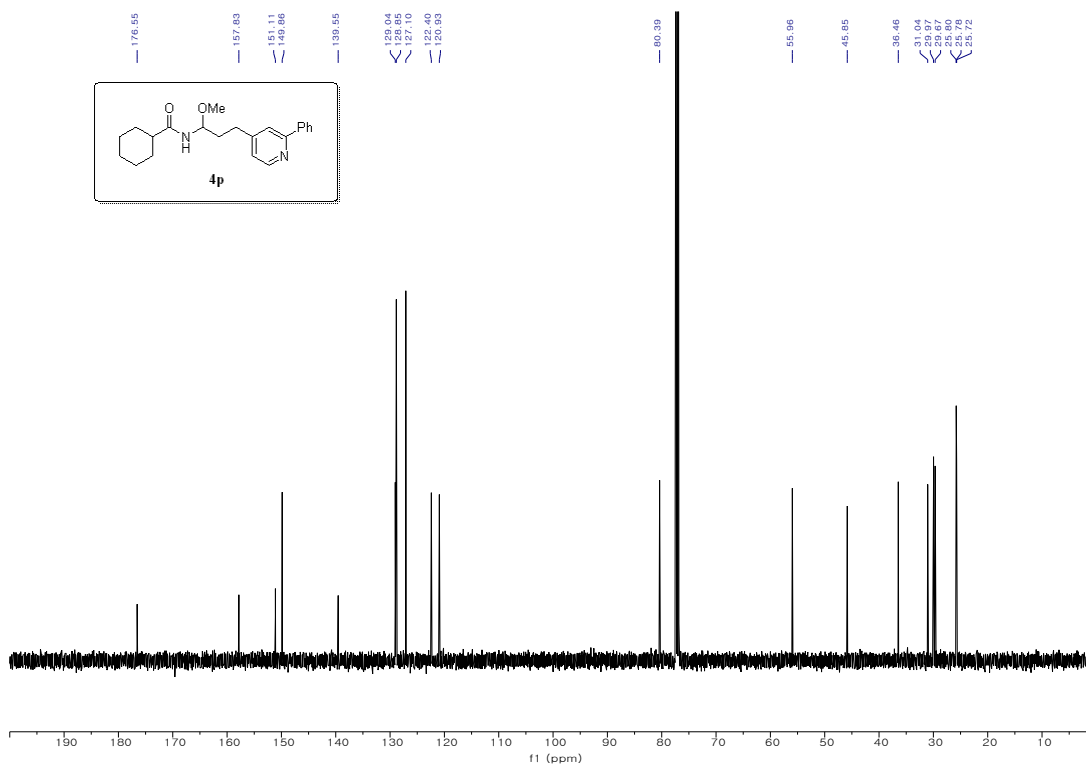


125 MHz, ¹³C NMR in CDCl₃

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)cyclohexanecarboxamide (4p)

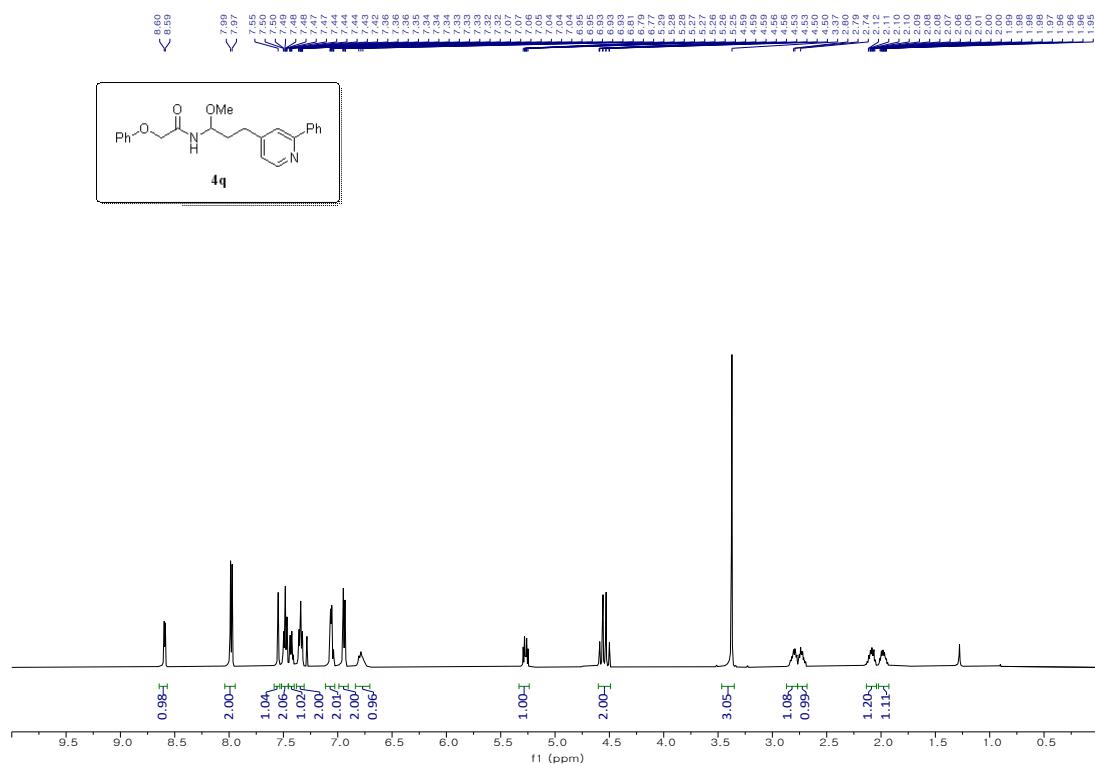


500 MHz, ¹H NMR in CDCl₃

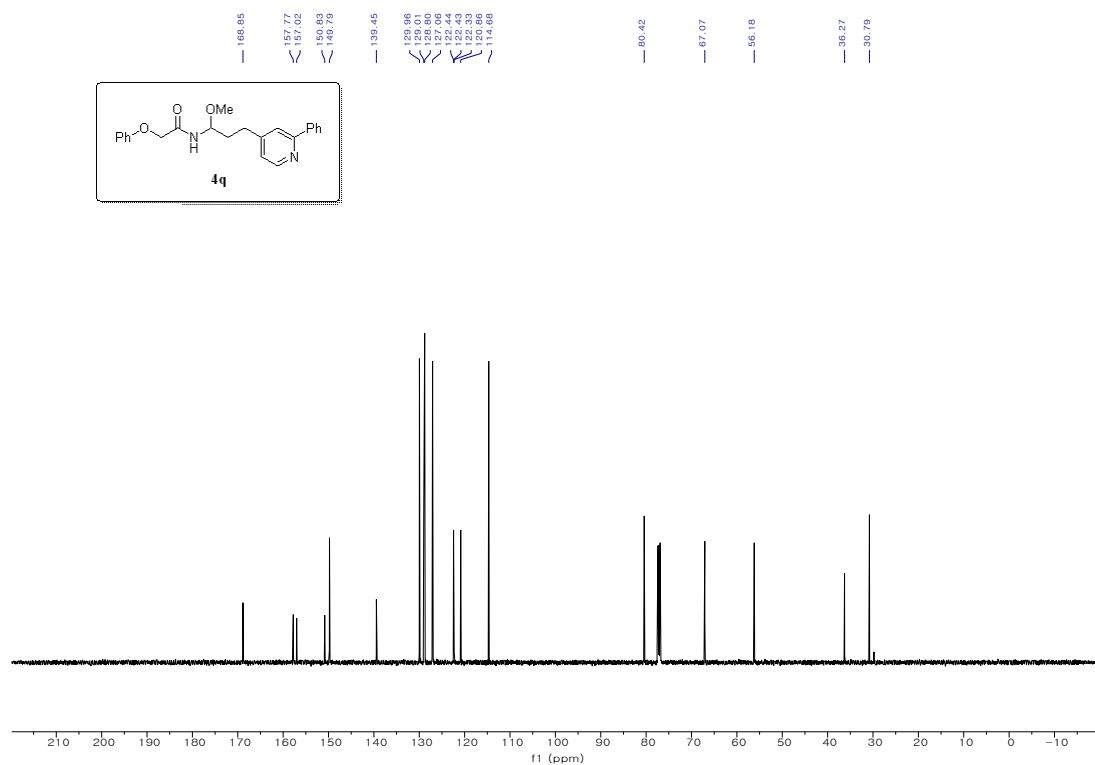


125 MHz, ¹³C NMR in CDCl₃

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-2-phenoxyacetamide (4q)

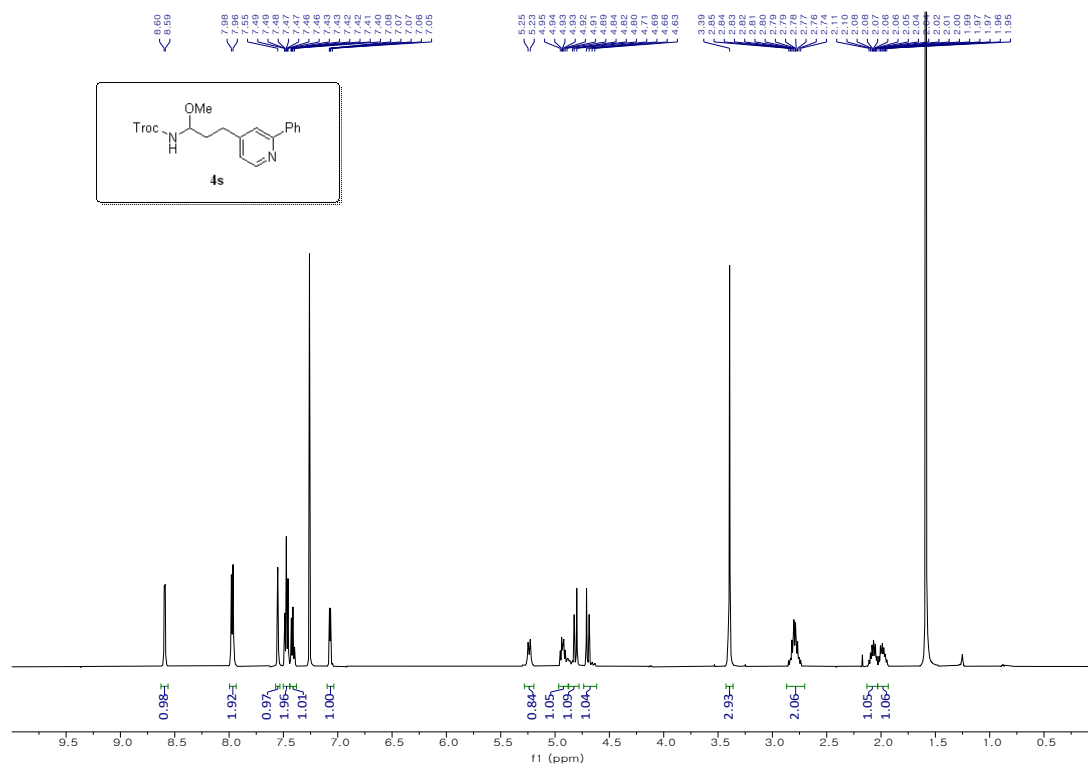


500 MHz, ¹H NMR in CDCl₃

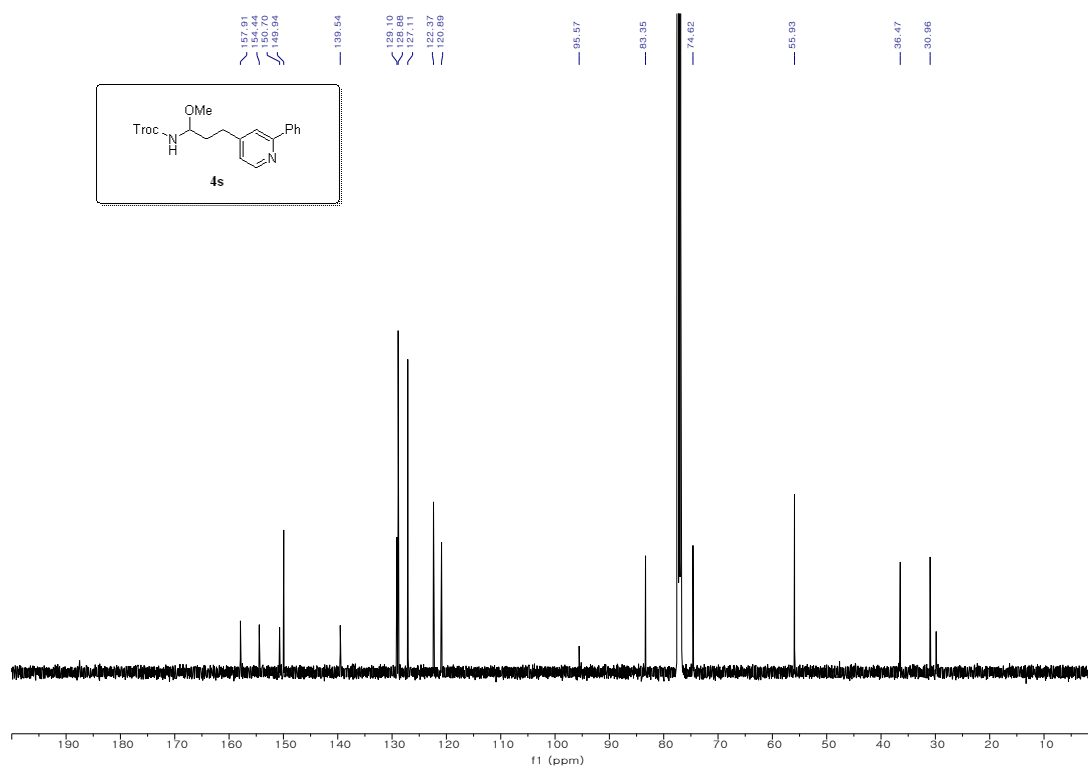


125 MHz, ¹³C NMR in CDCl₃

2,2,2-trichloroethyl (1-methoxy-3-(2-phenylpyridin-4-yl)propyl)carbamate (4s)

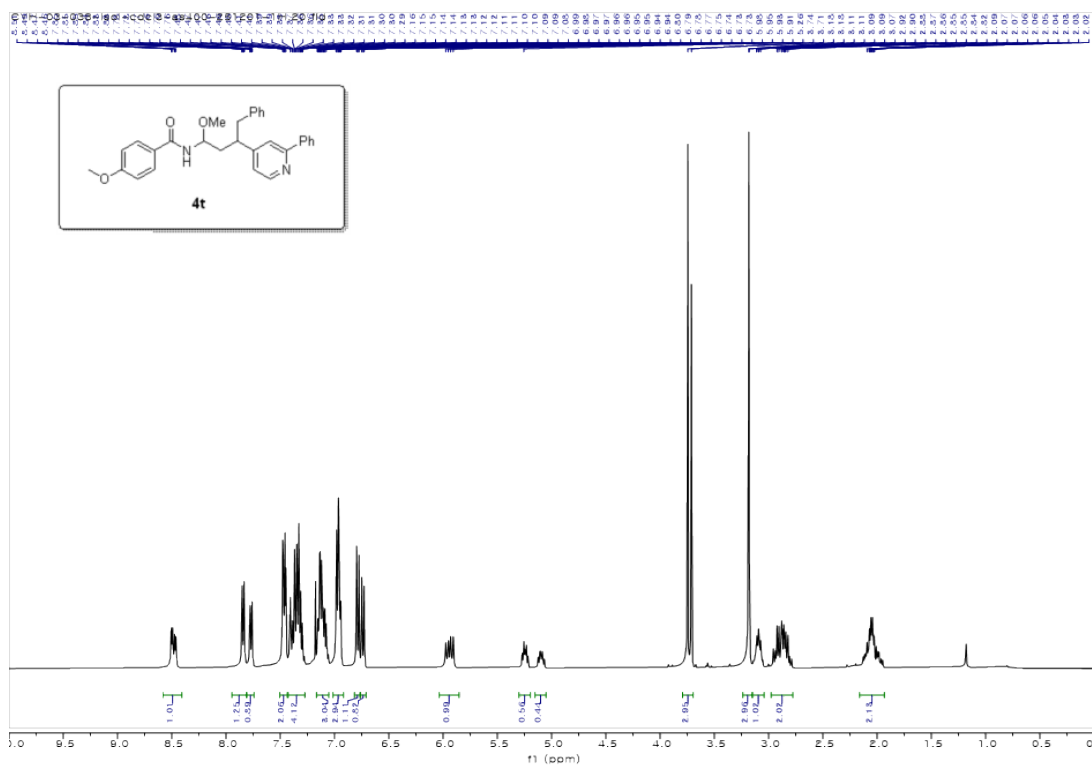


500 MHz, ¹H NMR in CDCl₃

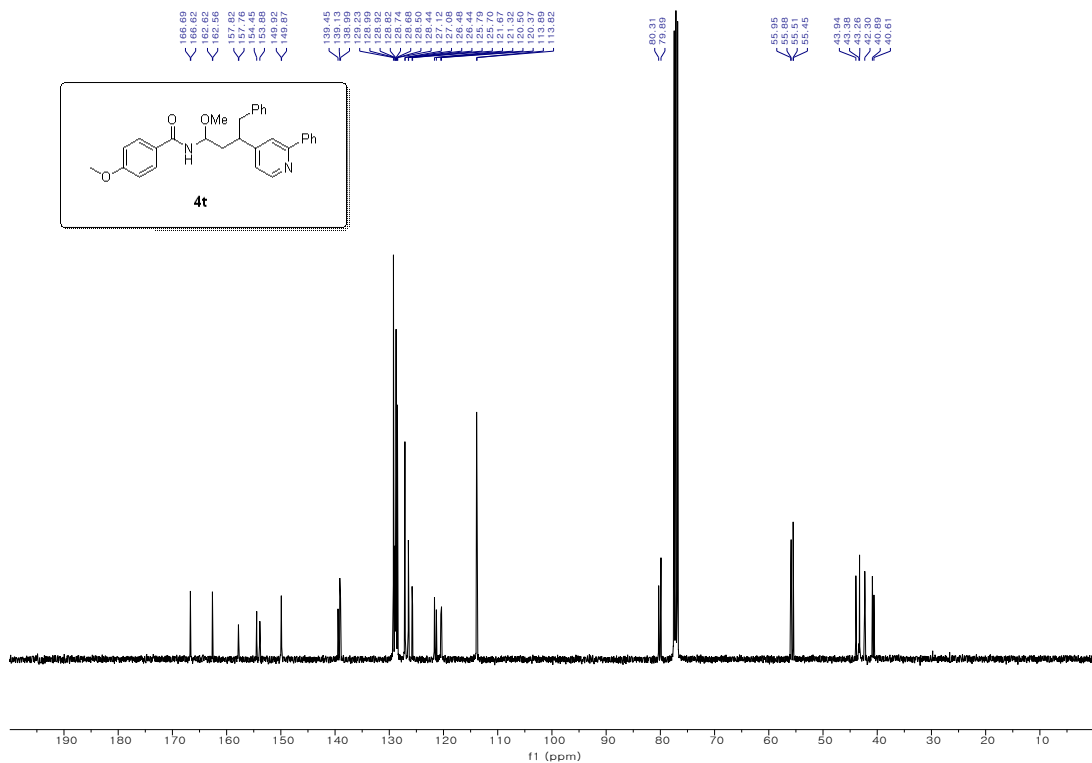


125 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-methoxy-4-phenyl-3-(2-phenylpyridin-4-yl)butyl)benzamide (4t)

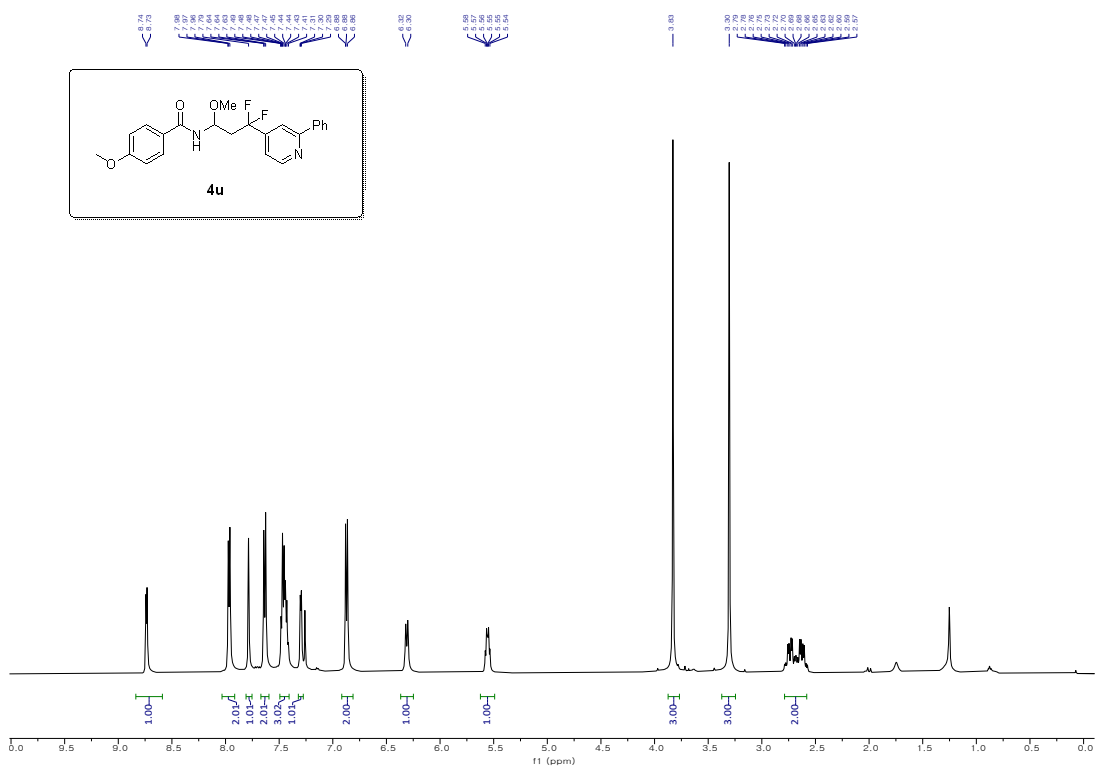


400 MHz, ¹H NMR in CDCl₃

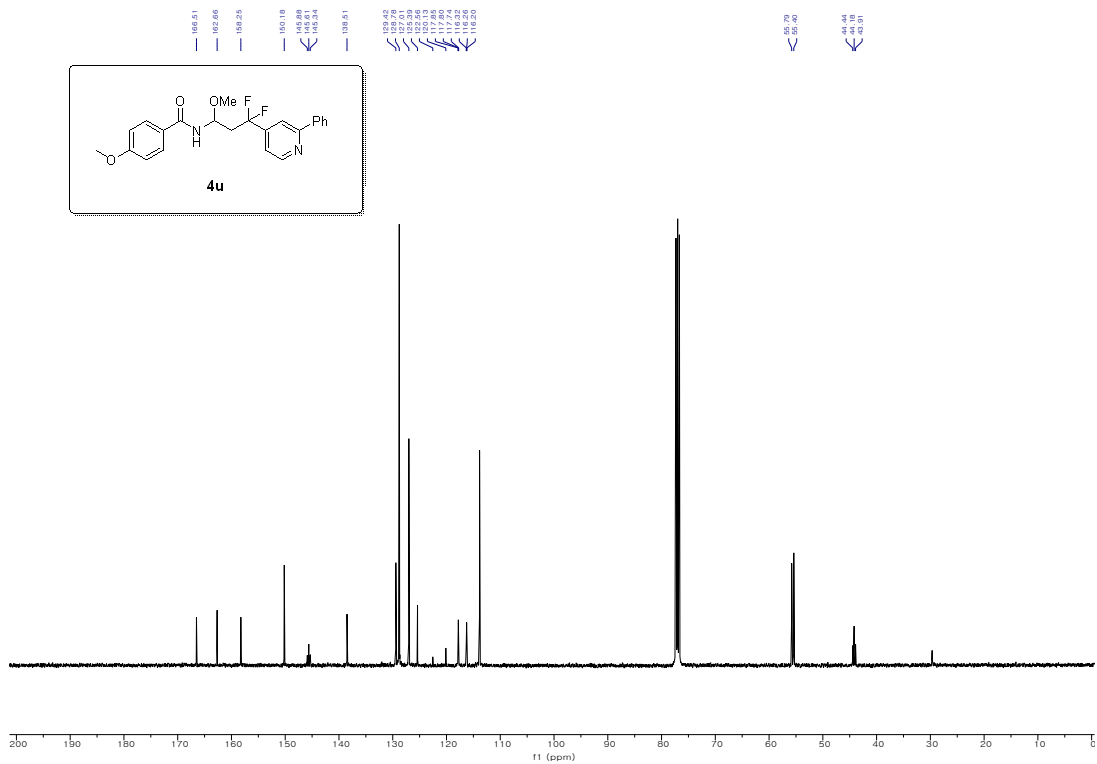


100 MHz, ^{13}C NMR in CDCl_3

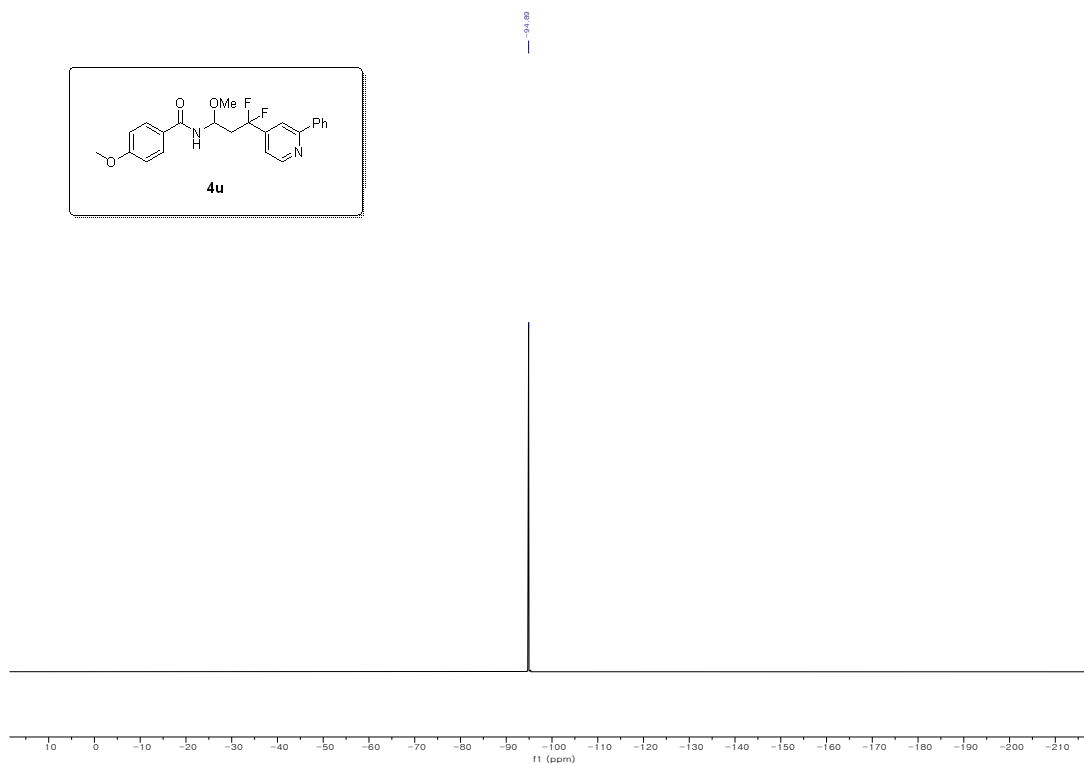
N-(3,3-difluoro-1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-4-methoxybenzamide (4u)



500 MHz, ^1H NMR in CDCl_3

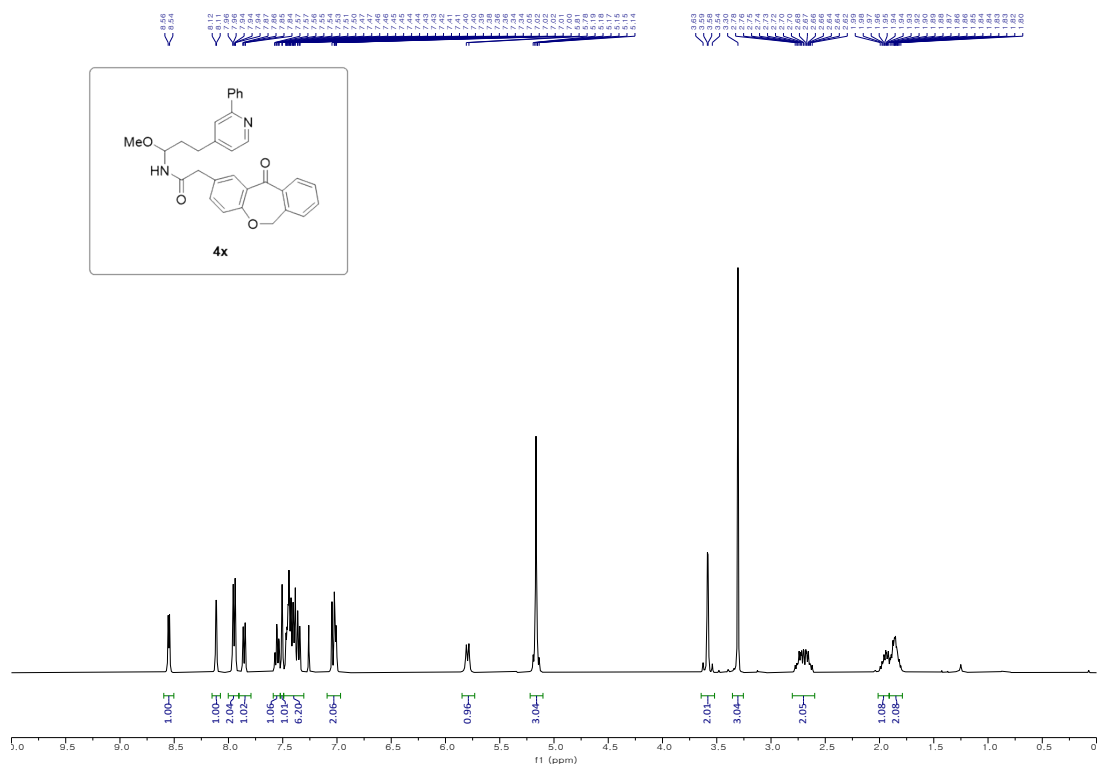


100 MHz, ¹³C NMR in CDCl₃

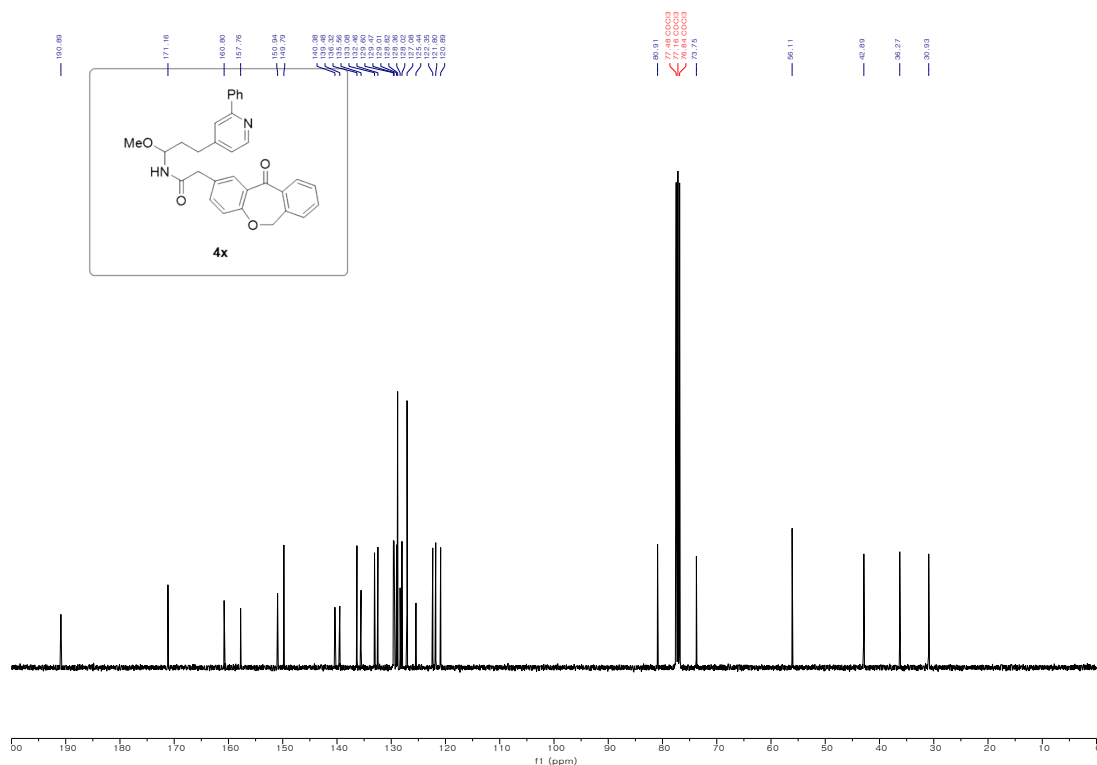


376 MHz, ^{19}F NMR in CDCl_3

N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)-2-(11-oxo-6,11-dihydrodibenzo[b,e]oxepin-2-yl)acetamide (4x)

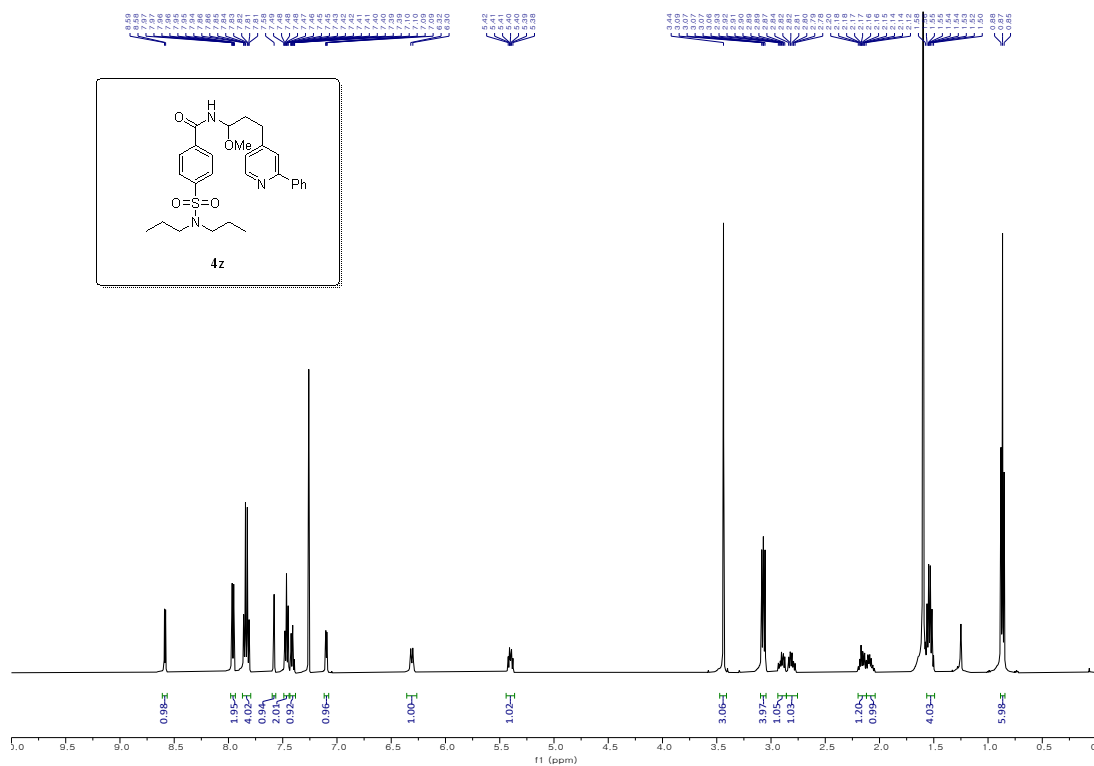


400 MHz, ¹H NMR in CDCl₃

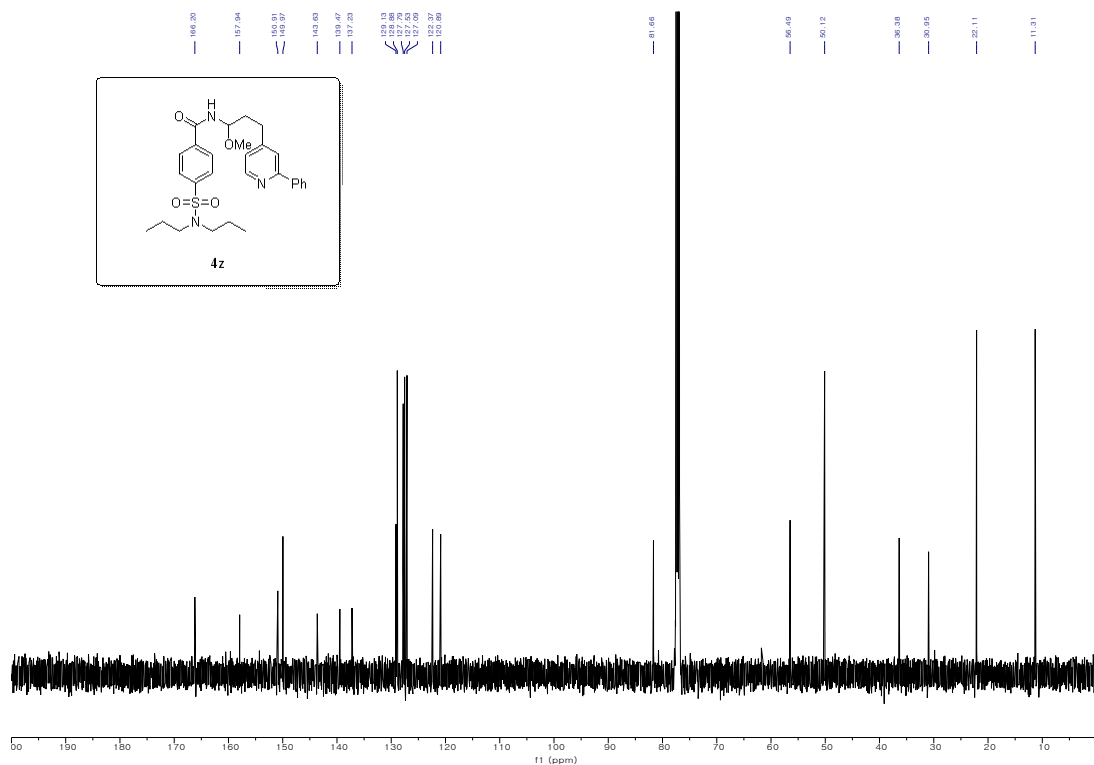


100 MHz, ¹³C NMR in CDCl₃

4-(N,N-dipropylsulfamoyl)-N-(1-methoxy-3-(2-phenylpyridin-4-yl)propyl)benzamide (4z)

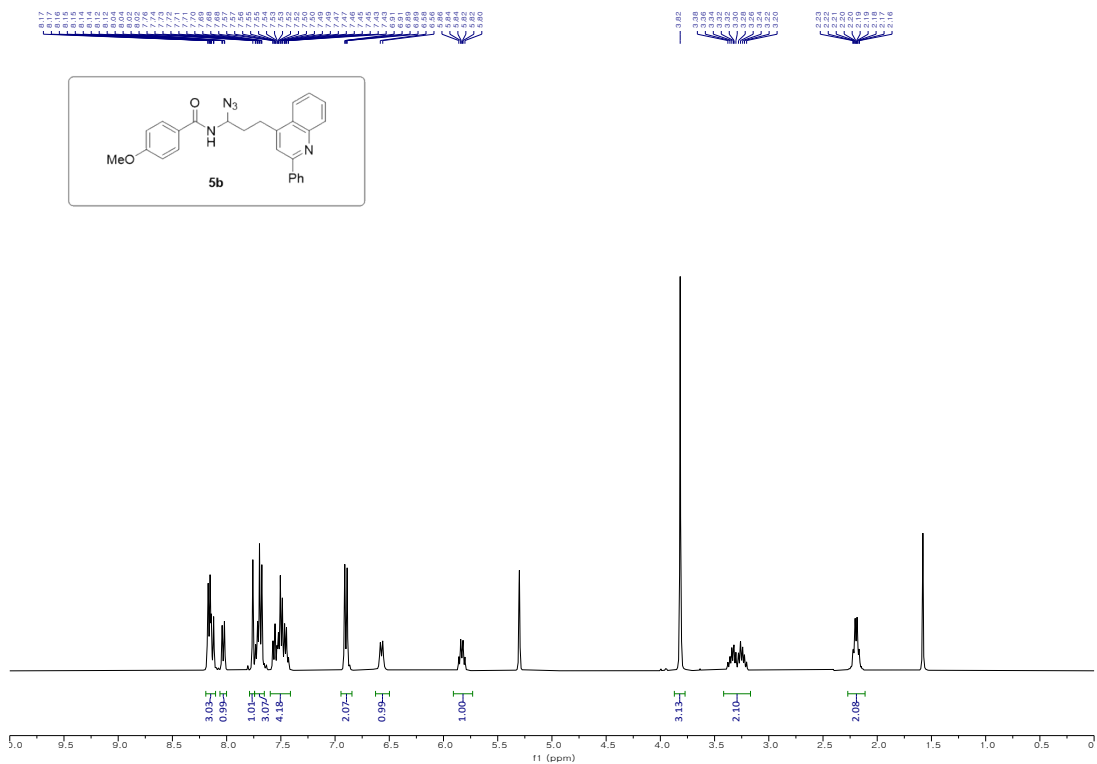


500 MHz, ¹H NMR in CDCl₃

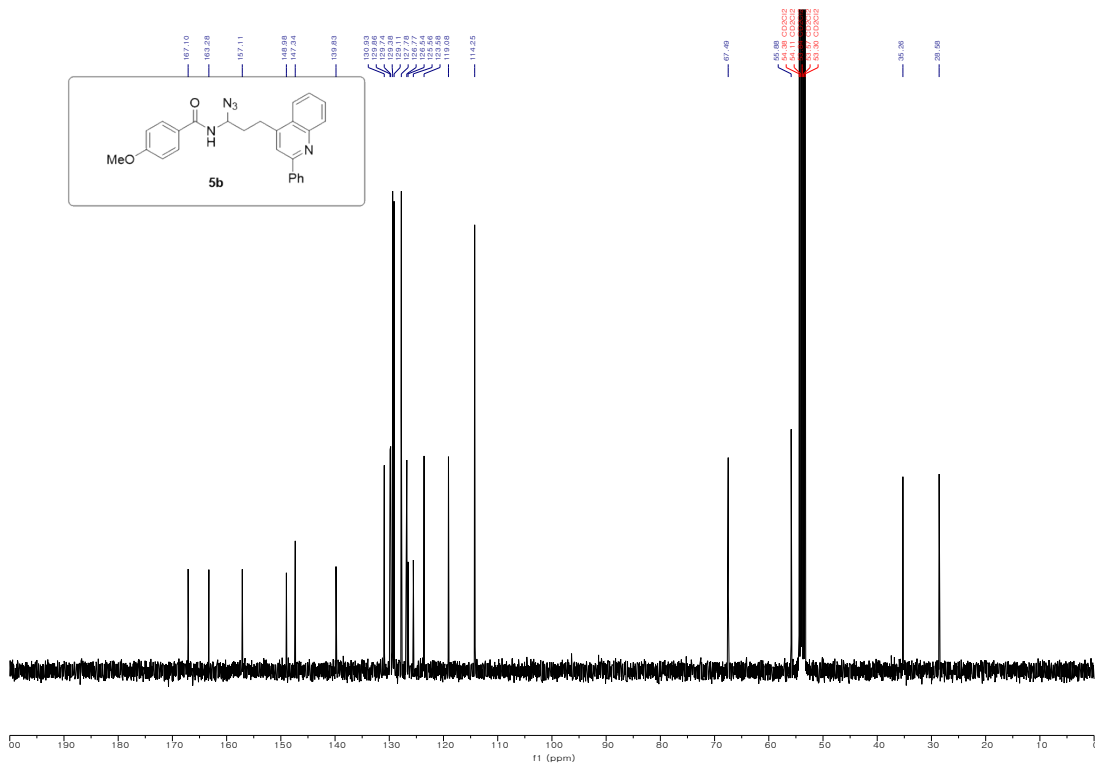


125 MHz, ¹³C NMR in CDCl₃

N-(1-azido-3-(2-phenylquinolin-4-yl)propyl)-4-methoxybenzamide (5b).

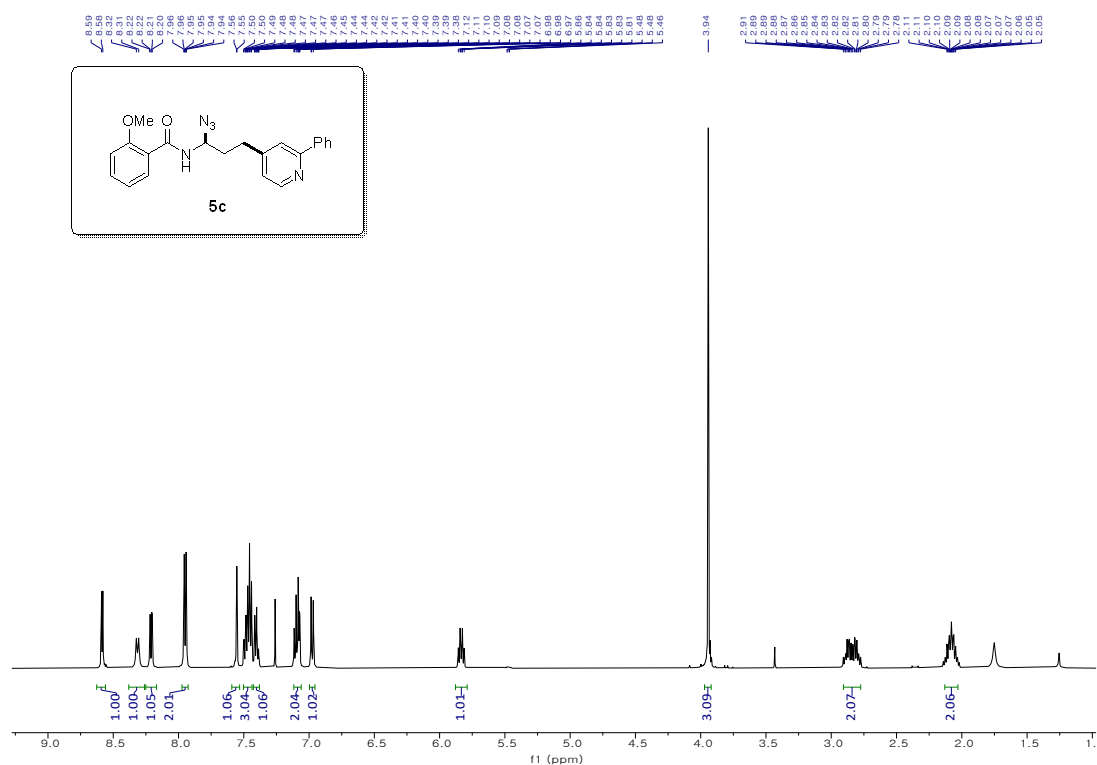


400 MHz, ^1H NMR in CD_2Cl_2

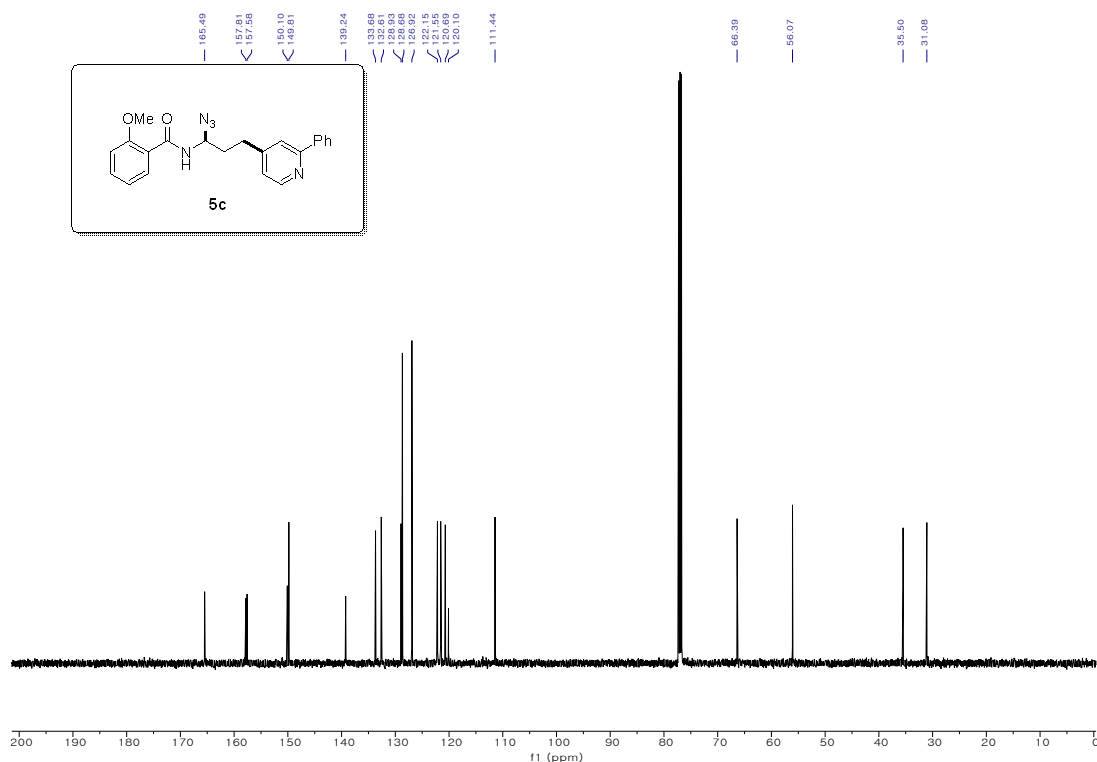


100 MHz, ^{13}C NMR in CD_2Cl_2

N-(1-azido-3-(2-phenylpyridin-4-yl)propyl)-2-methoxybenzamide (5c)

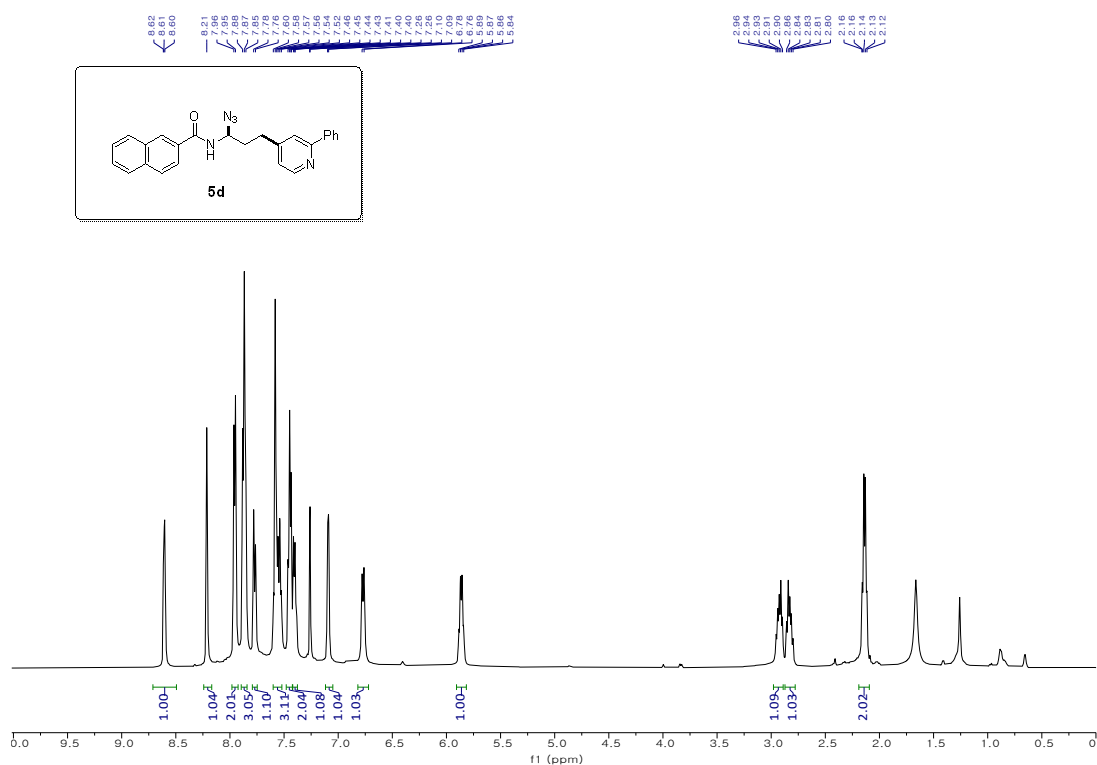


500 MHz, ¹H NMR in CDCl₃

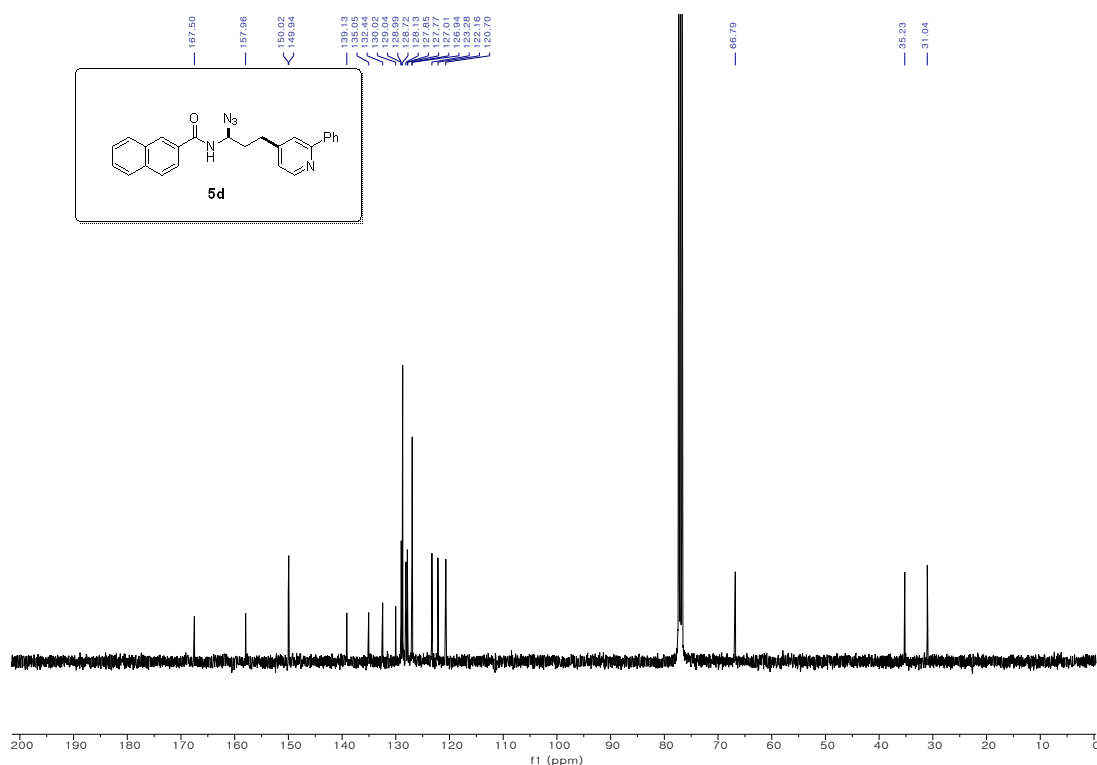


125 MHz, ¹³C NMR in CDCl₃

N-(1-azido-3-(2-phenylpyridin-4-yl)propyl)-2-naphthamide (5d)

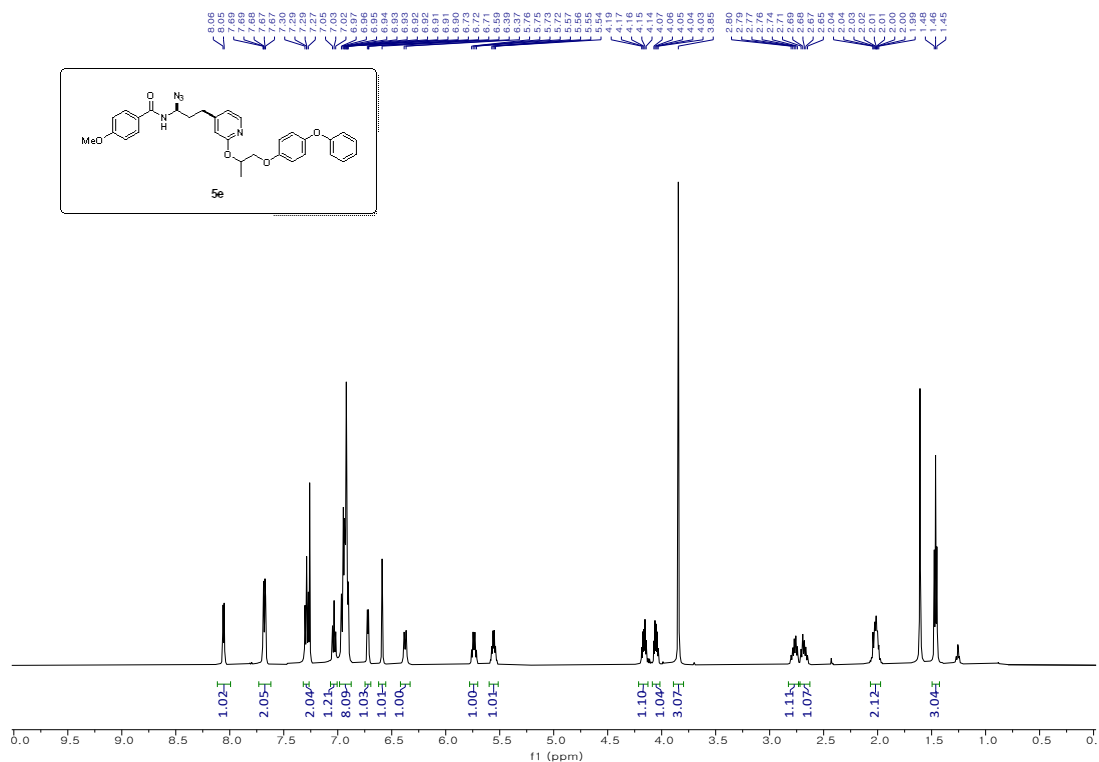


500 MHz, ¹H NMR in CDCl₃

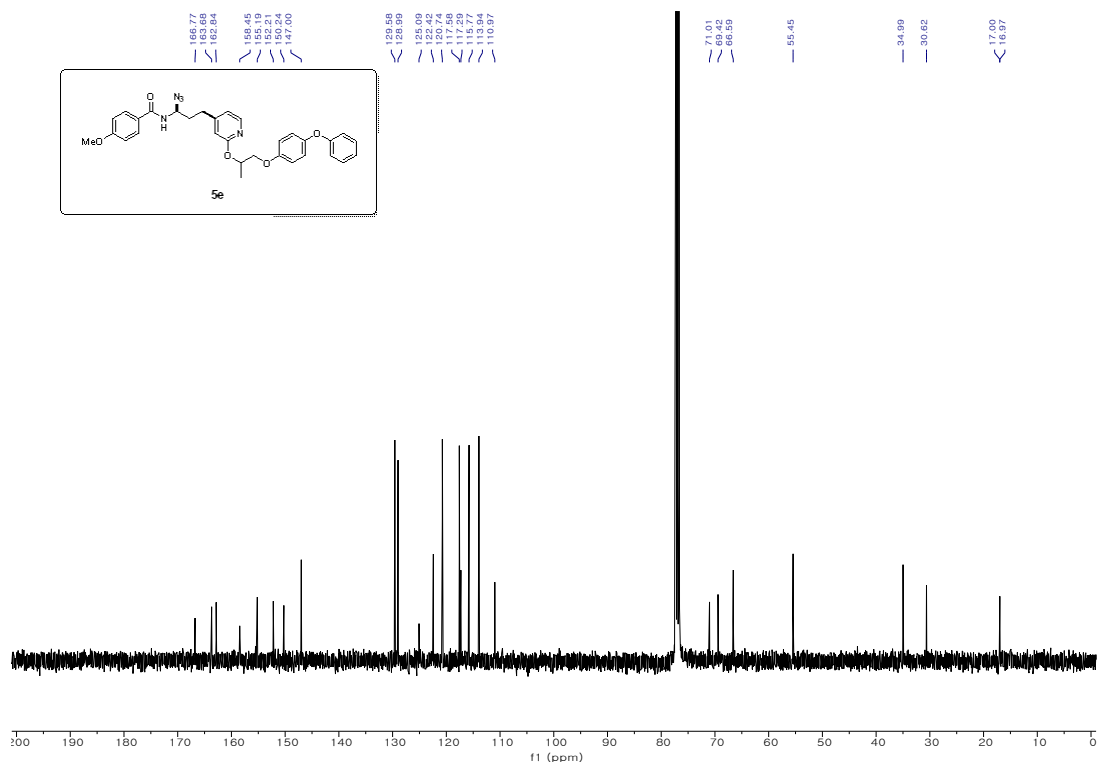


100 MHz, ¹³C NMR in CDCl₃

N-(1-azido-3-(2-((1-(4-phenoxyphenoxy)propan-2-yl)oxy)pyridin-4-yl)propyl)pyridin-4-yl)propyl)-4-methoxybenzamide (5e)

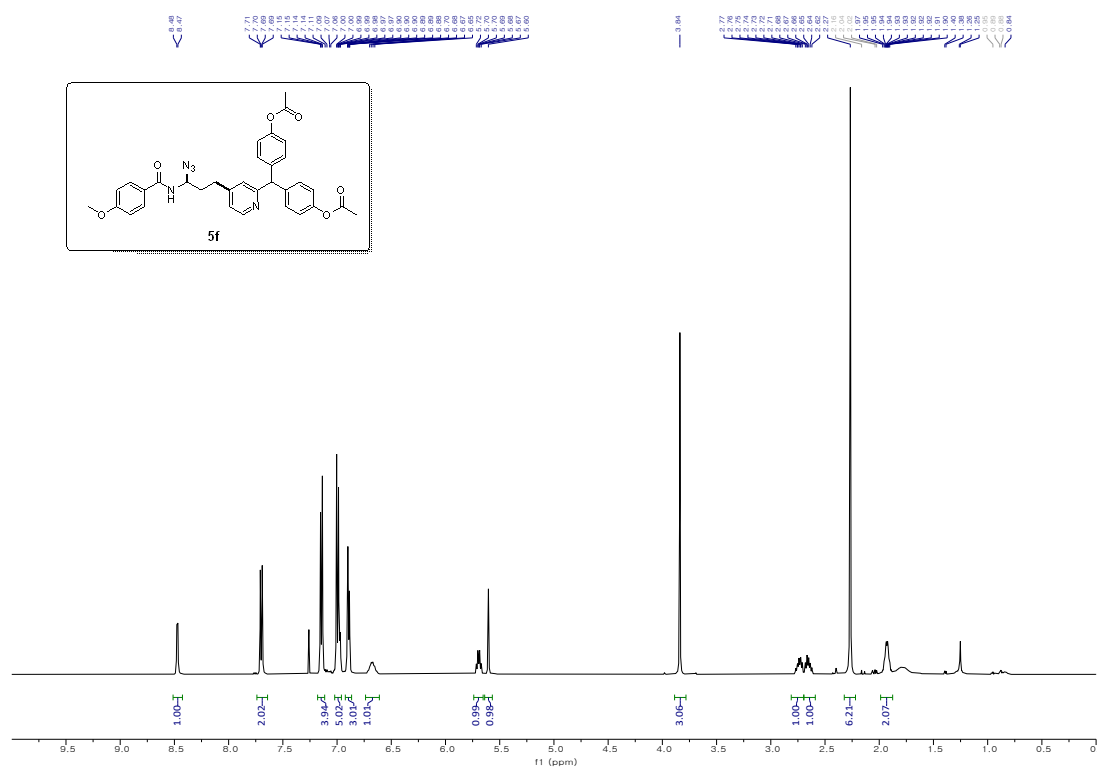


500 MHz, ¹H NMR in CDCl₃

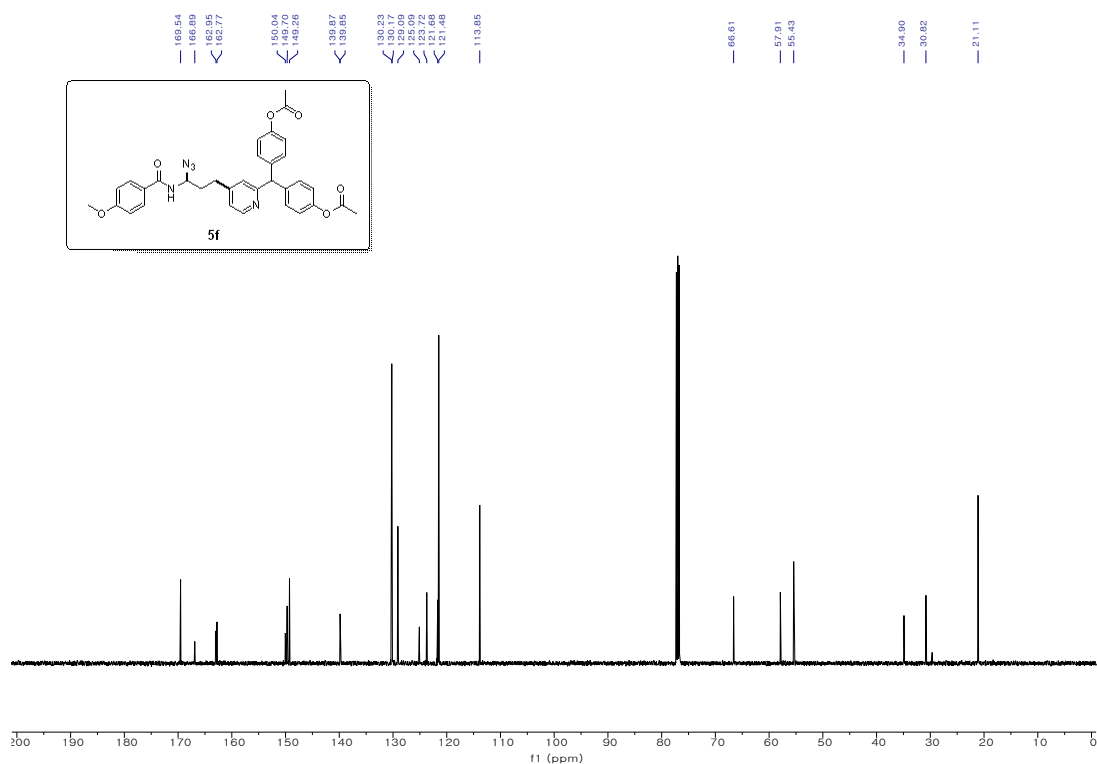


125 MHz, ¹³C NMR in CDCl₃

((4-(3-azido-3-(4-methoxybenzamido)propyl)pyridin-2-yl)methylene)bis(4,1-phenylene) diacetate (5f)

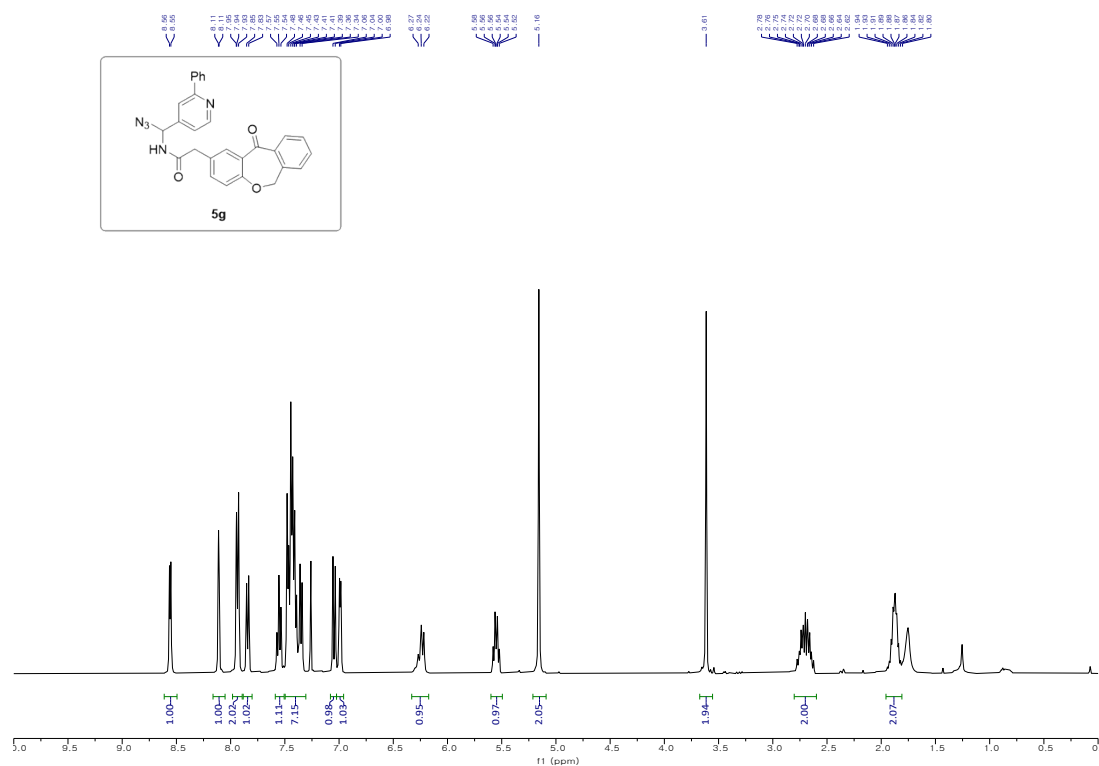


500 MHz, ¹H NMR in CDCl₃

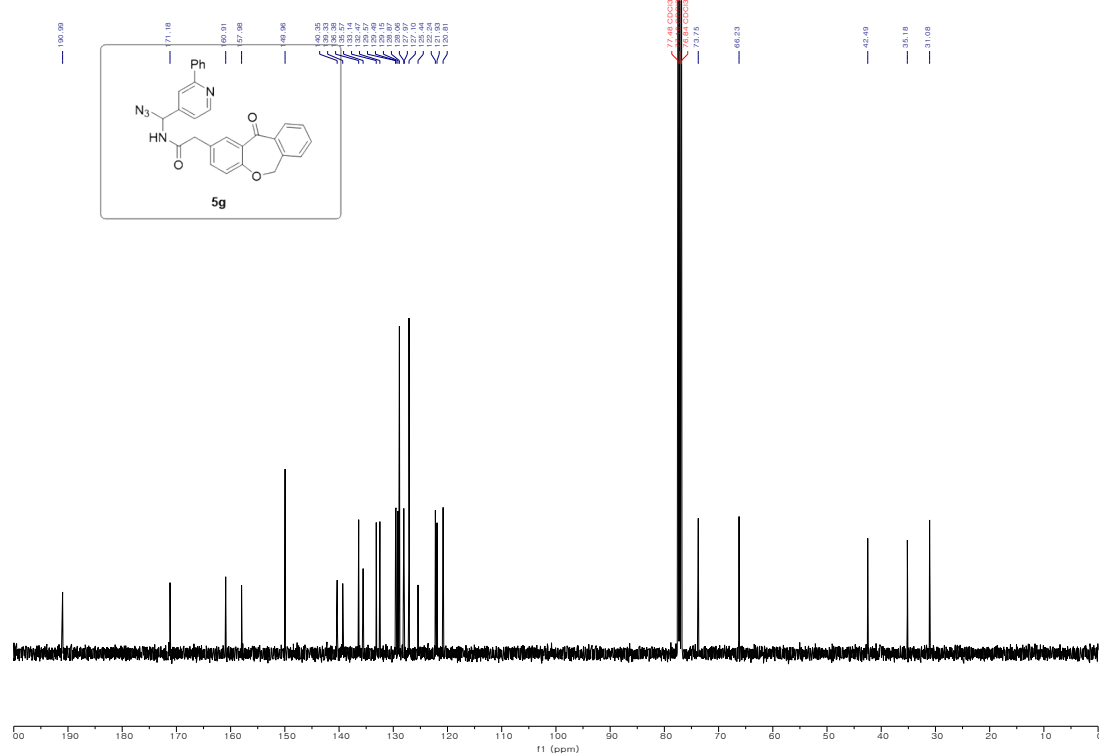


125 MHz, ¹³C NMR in CDCl₃

N-(azido(2-phenylpyridin-4-yl)methyl)-2-(11-oxo-6,11-dihydrodibenzo[b,e]oxepin-2-yl)acetamide (5g).

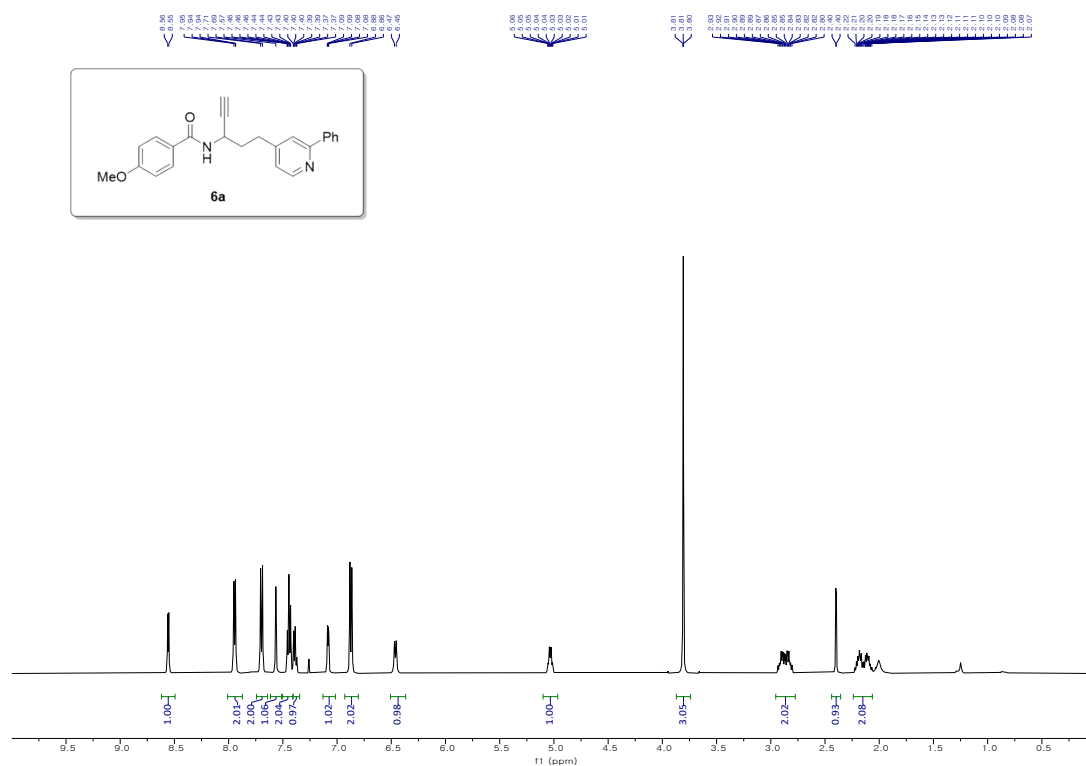


400 MHz, ¹H NMR in CDCl₃

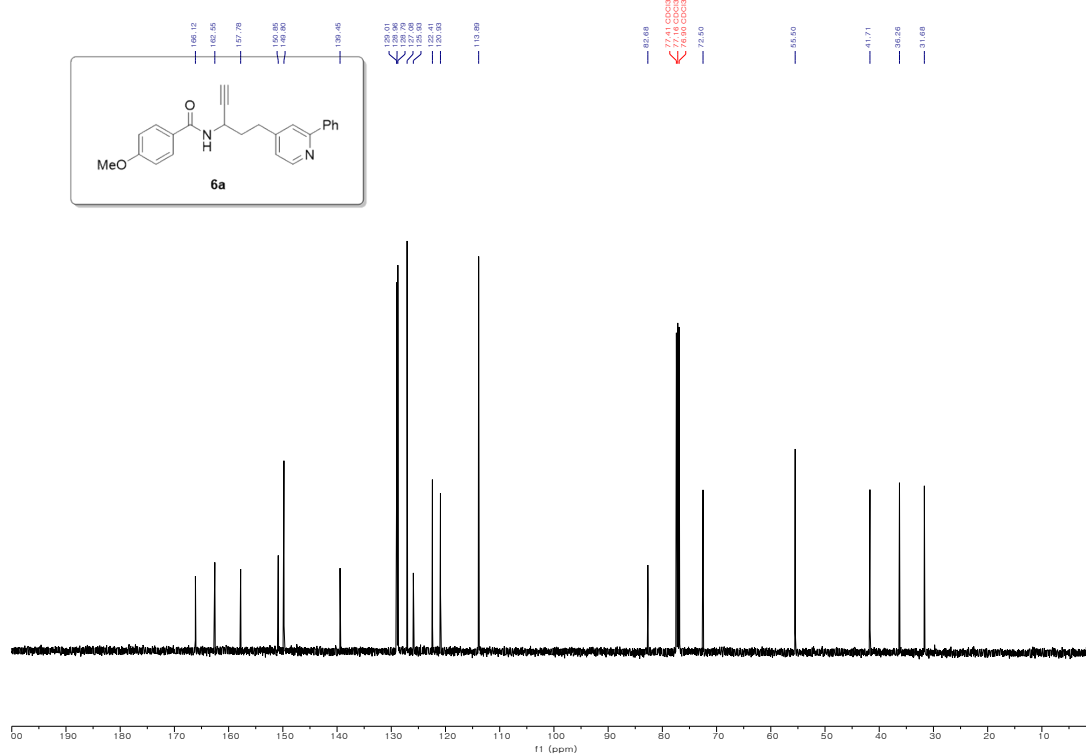


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(5-(2-phenylpyridin-4-yl)pent-1-yn-3-yl)benzamide (6a).

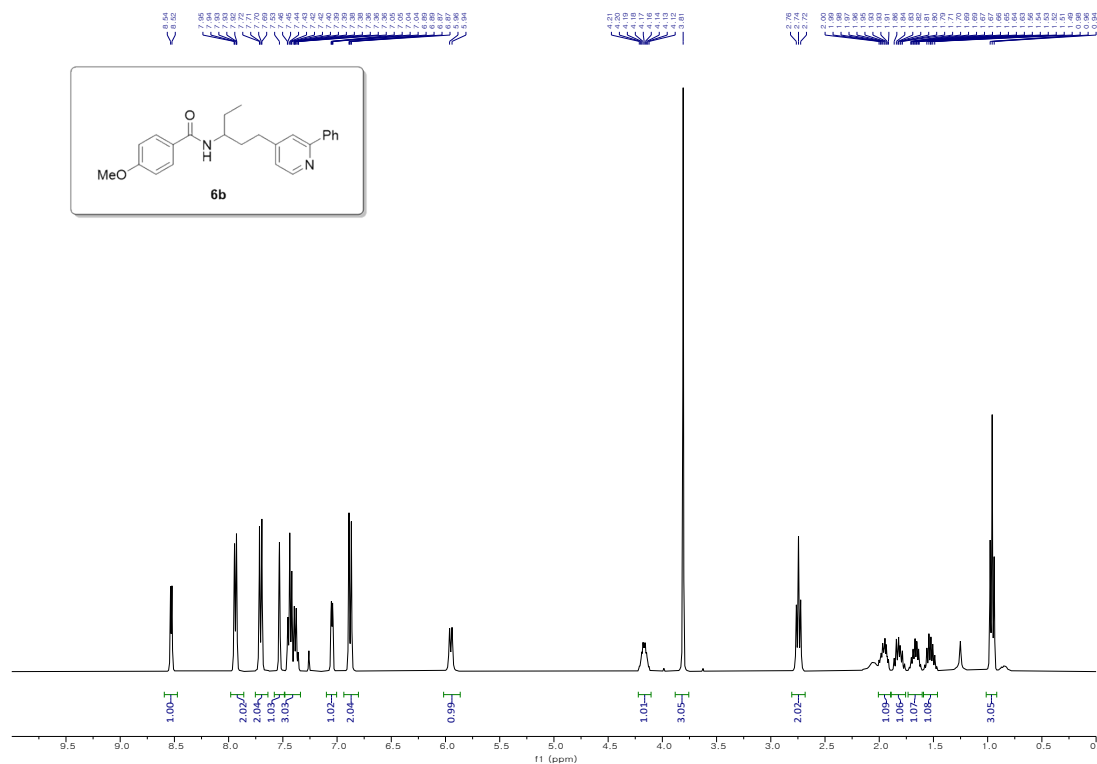


400 MHz, ¹H NMR in CDCl₃

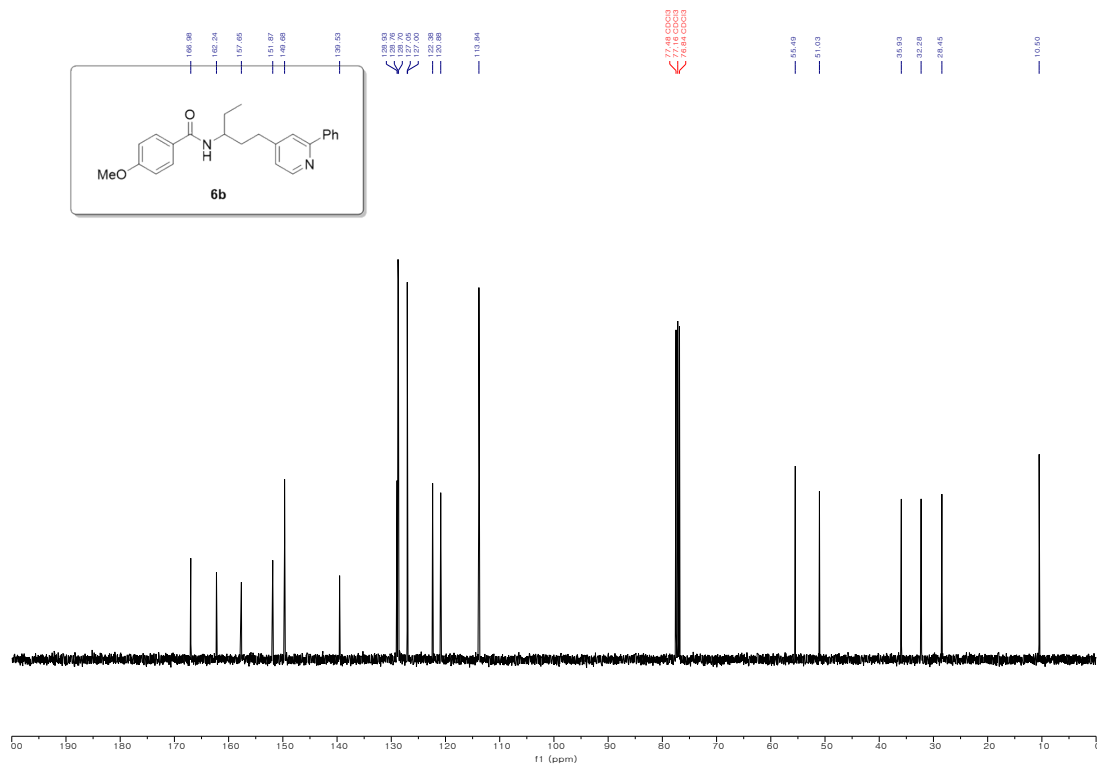


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-(2-phenylpyridin-4-yl)pentan-3-yl)benzamide (6b).

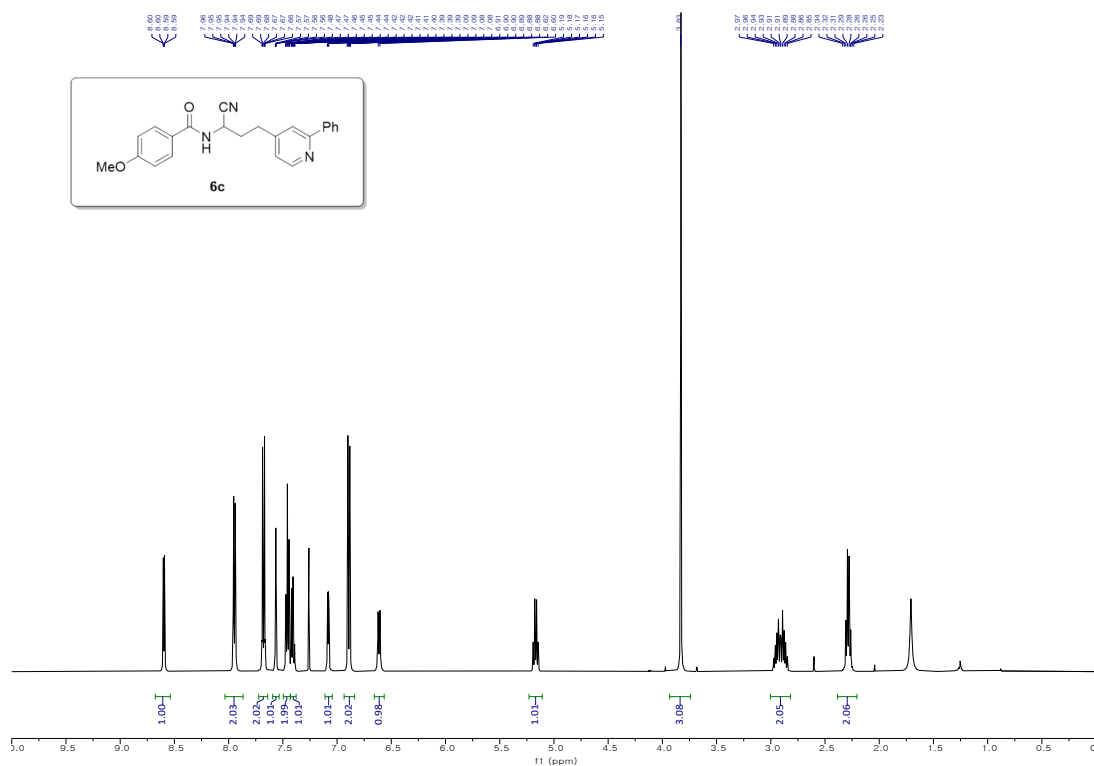


400 MHz, ^1H NMR in CDCl_3

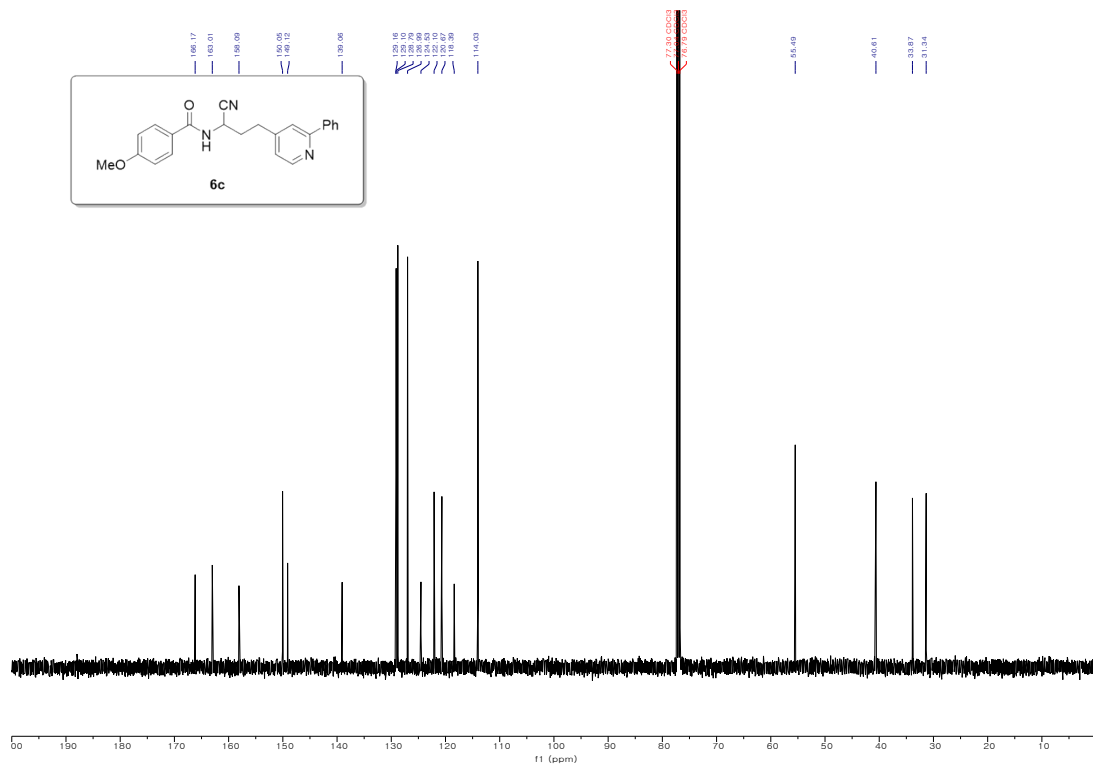


100 MHz, ^{13}C NMR in CDCl_3

N-(1-cyano-3-(2-phenylpyridin-4-yl)propyl)-4-methoxybenzamide (6c).

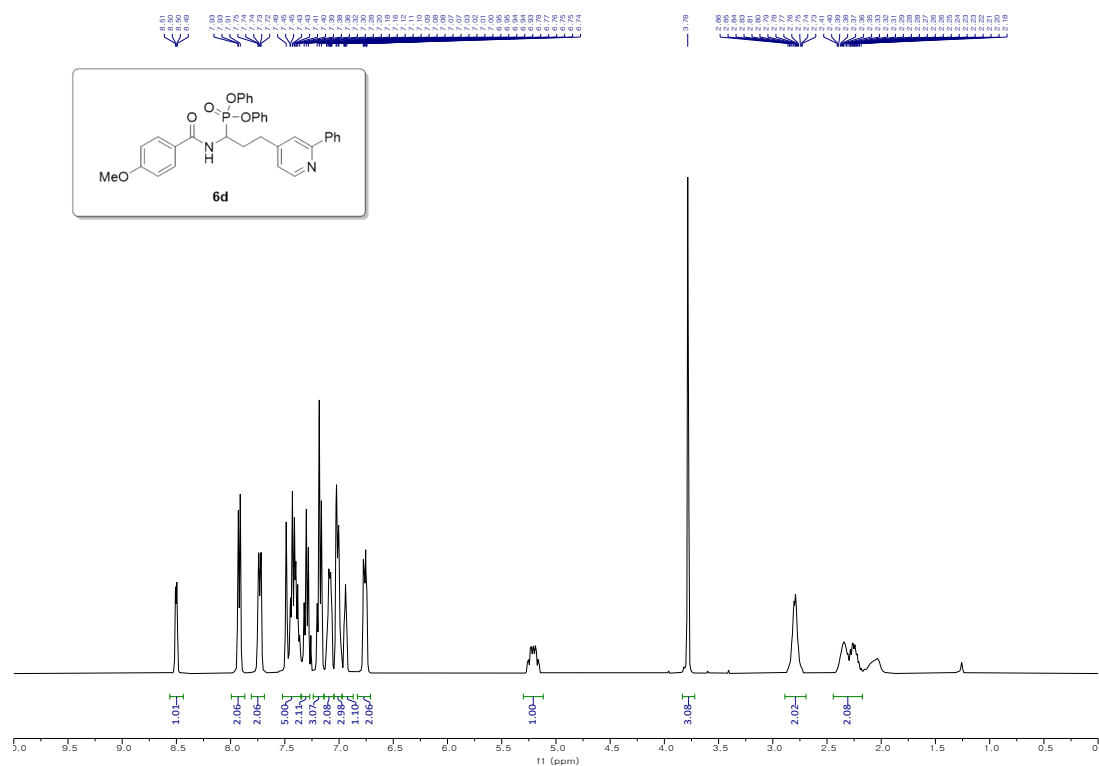


500 MHz, ¹H NMR in CDCl₃

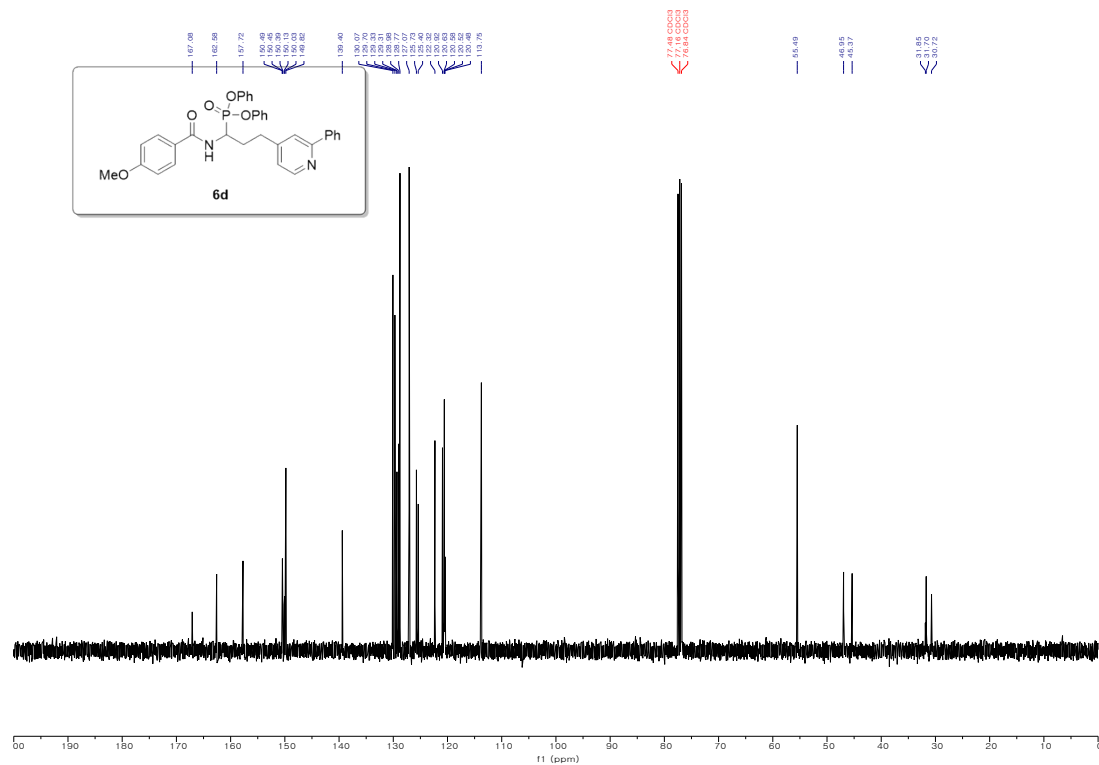


125 MHz, ¹³C NMR in CDCl₃

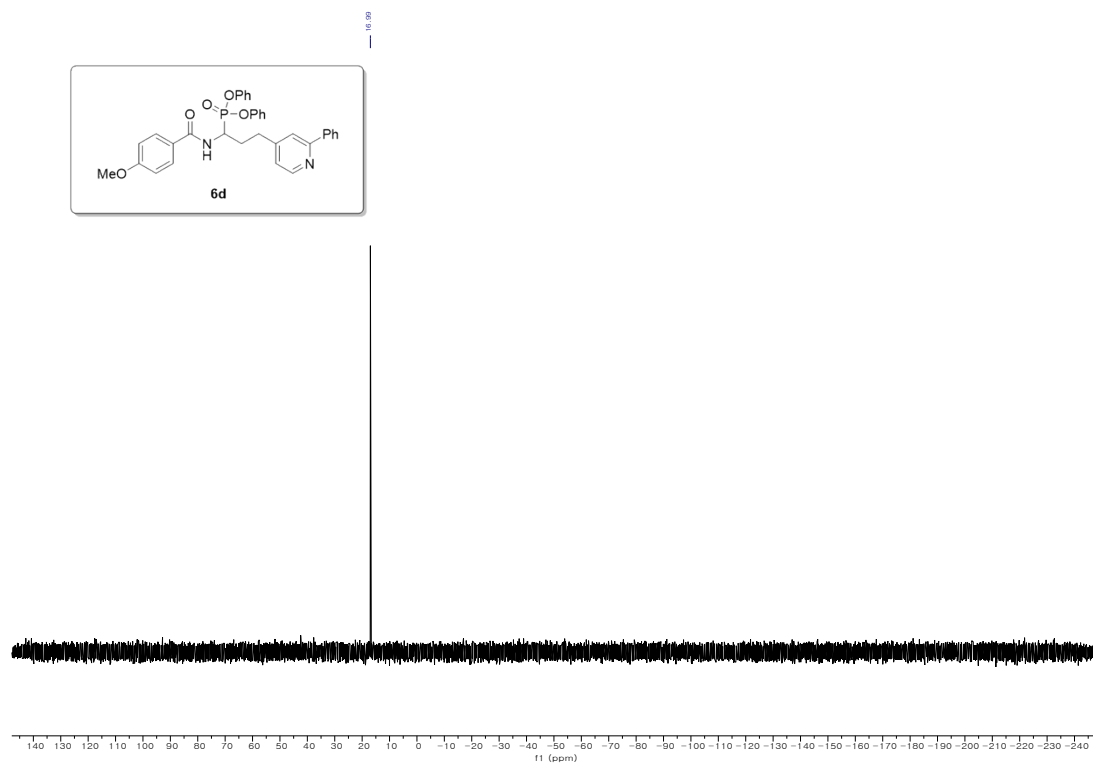
diphenyl (1-(4-methoxybenzamido)-3-(2-phenylpyridin-4-yl)propyl)phosphonate (6d).



400 MHz, ¹H NMR in CDCl₃

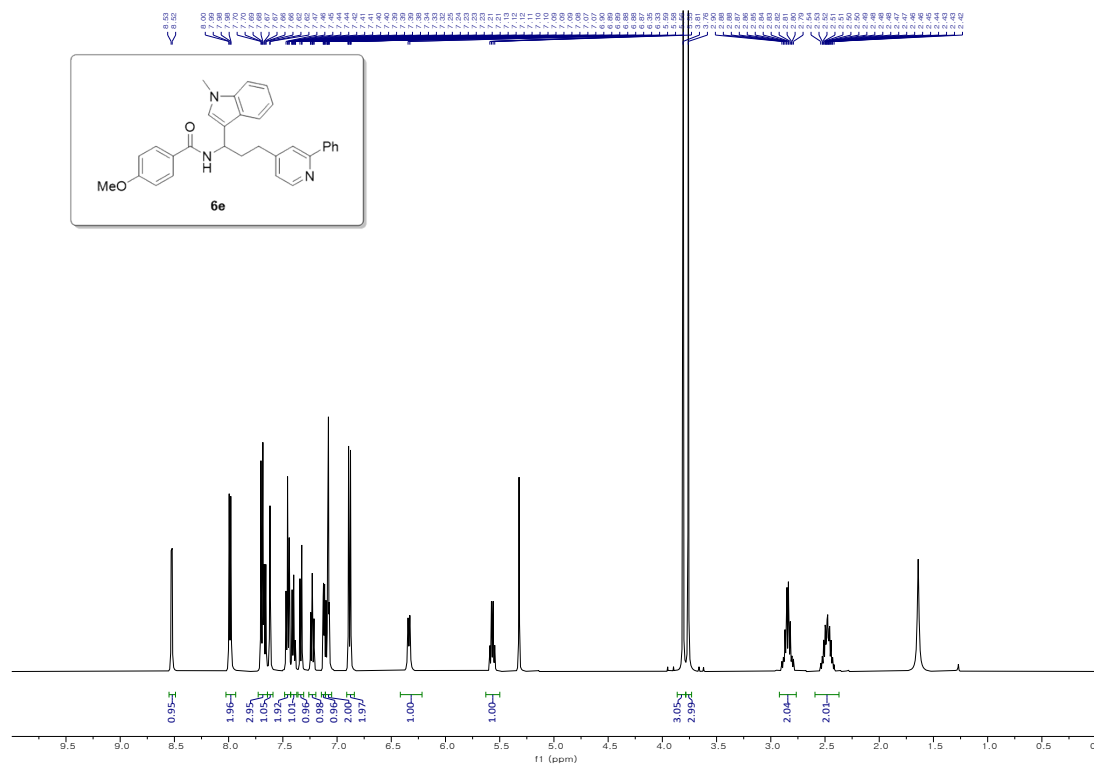


100 MHz, ¹³C NMR in CDCl₃

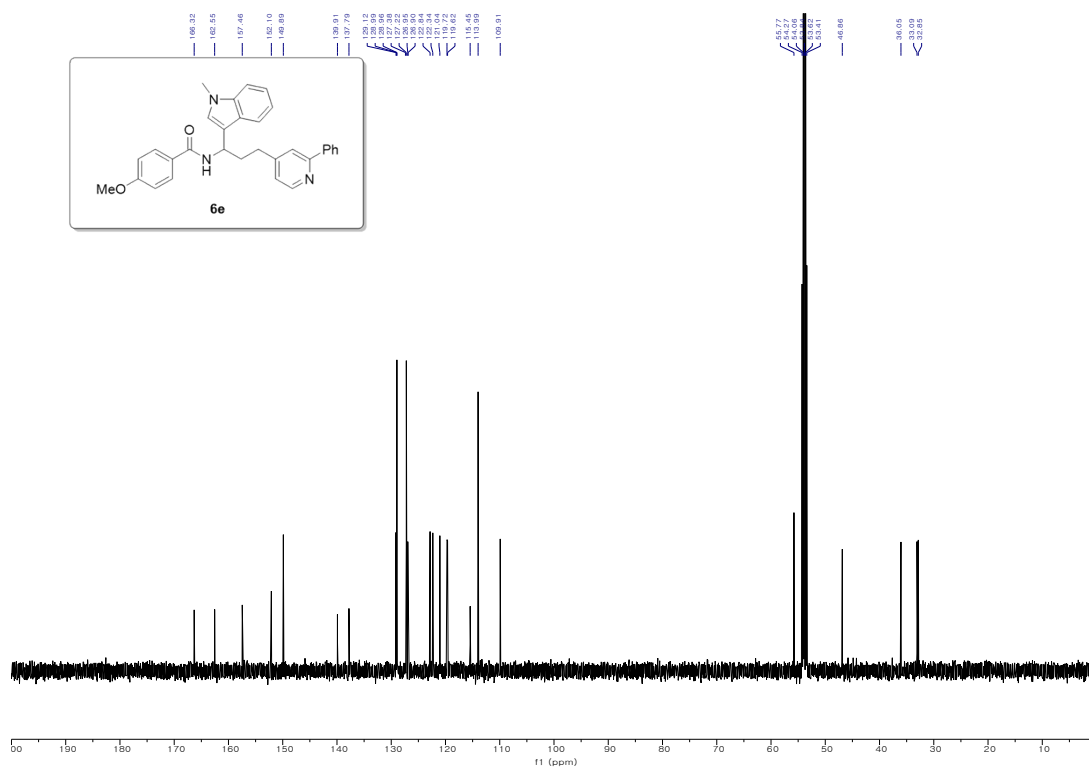


162 MHz, ^{31}P NMR in CDCl_3

4-methoxy-N-(1-(1-methyl-1H-indol-3-yl)-3-(2-phenylpyridin-4-yl)propyl)benzamide (6e).

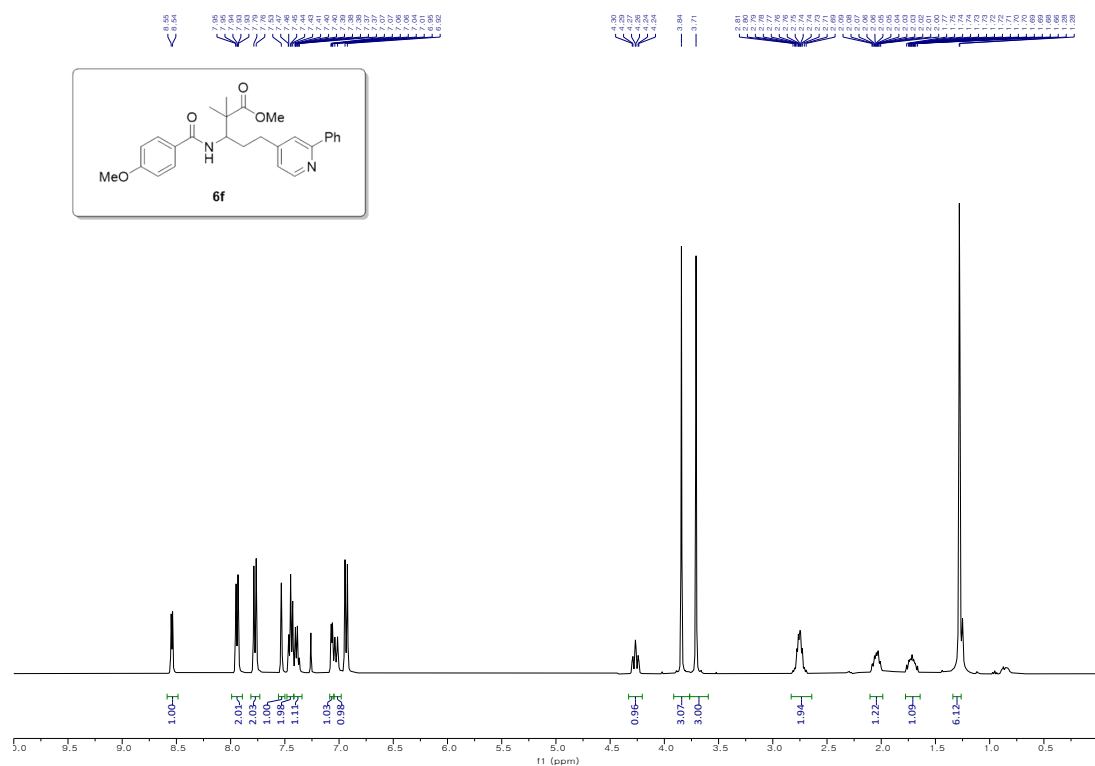


500 MHz, ¹H NMR in CD₂Cl₂

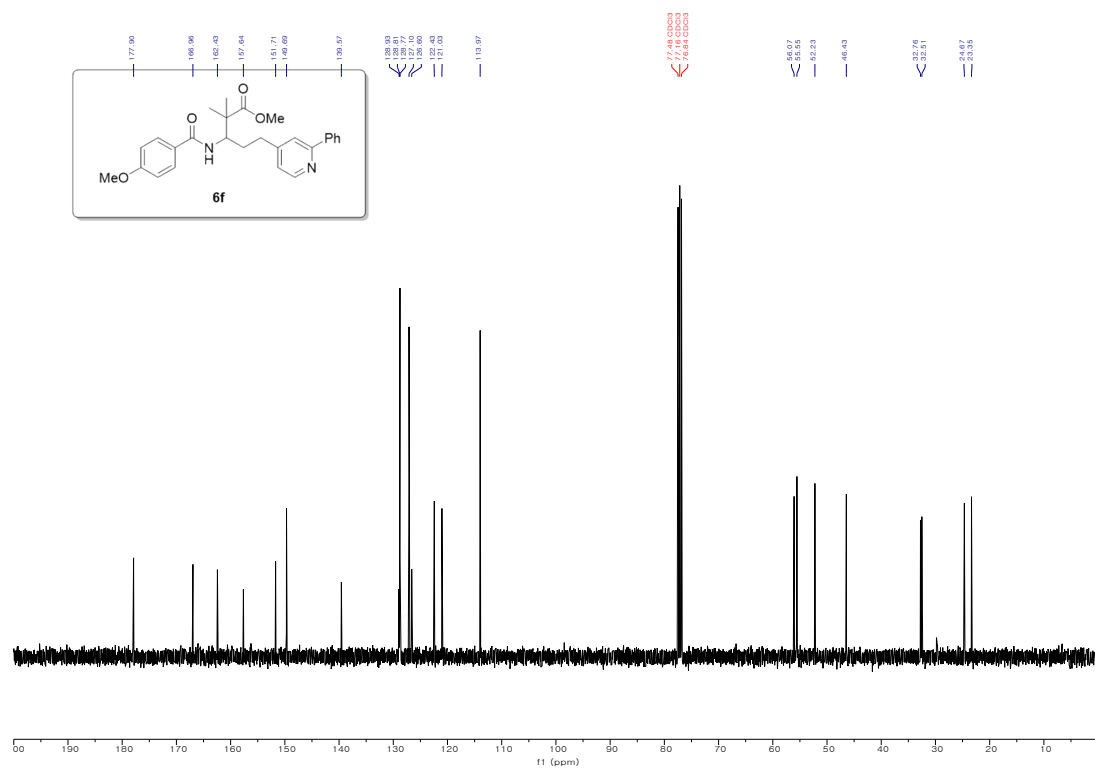


125 MHz, ¹³C NMR in CD₂Cl₂

methyl 3-(4-methoxybenzamido)-2,2-dimethyl-5-(2-phenylpyridin-4-yl)pentanoate (6f).

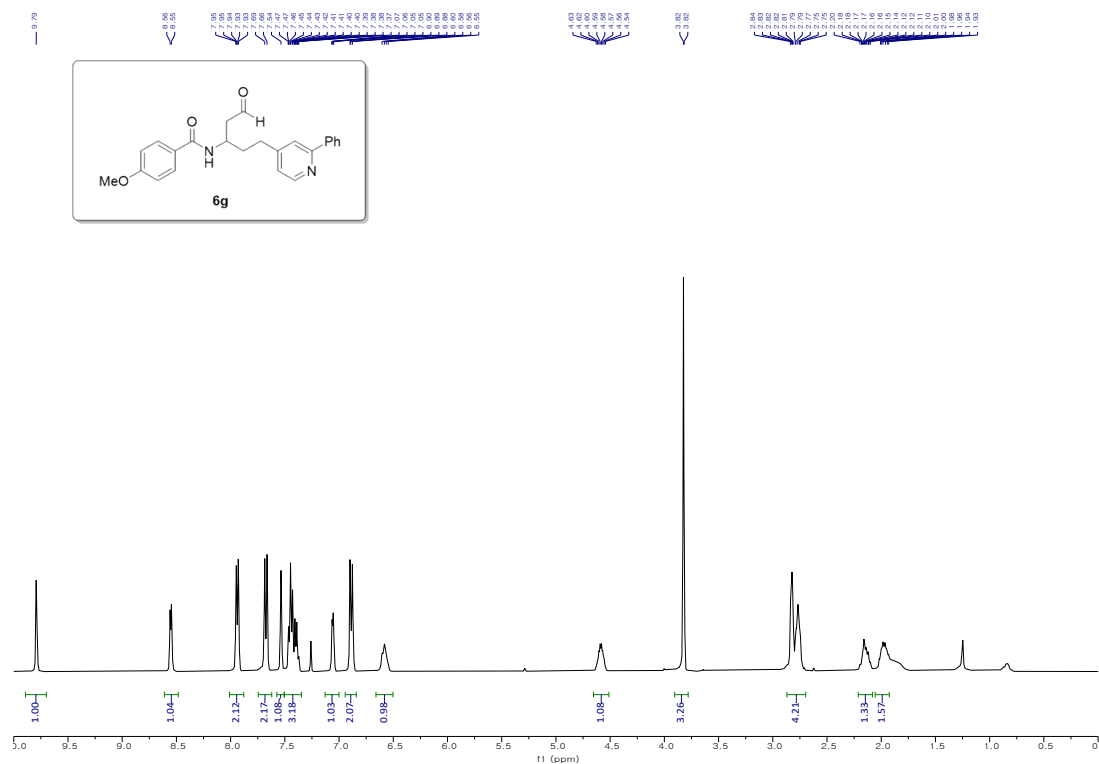


400 MHz, ¹H NMR in CDCl₃

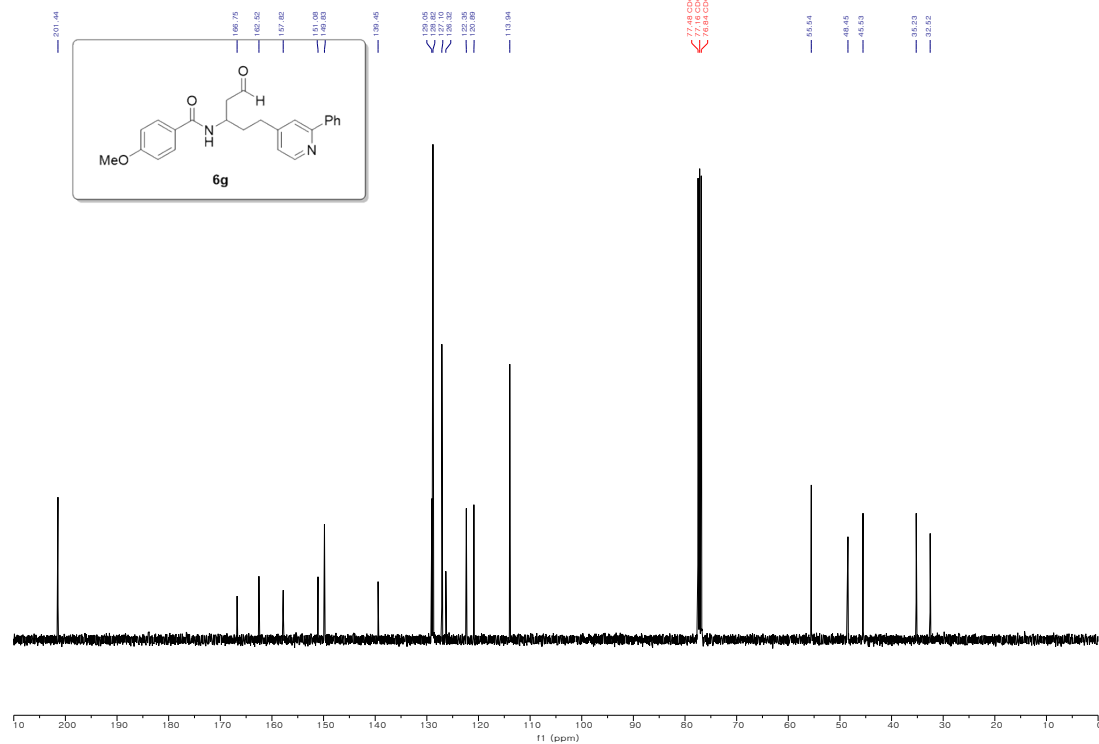


100 MHz, ¹³C NMR in CDCl₃

4-methoxy-N-(1-oxo-5-(2-phenylpyridin-4-yl)pentan-3-yl)benzamide (6g).

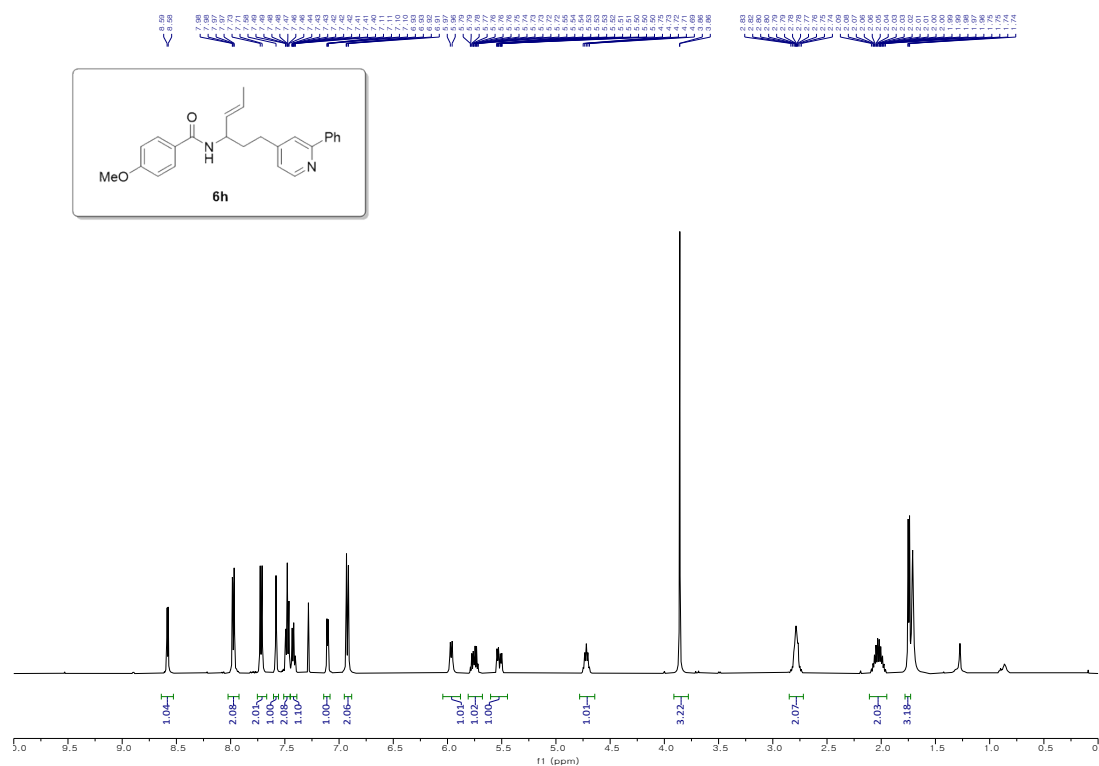


400 MHz, ¹H NMR in CDCl₃

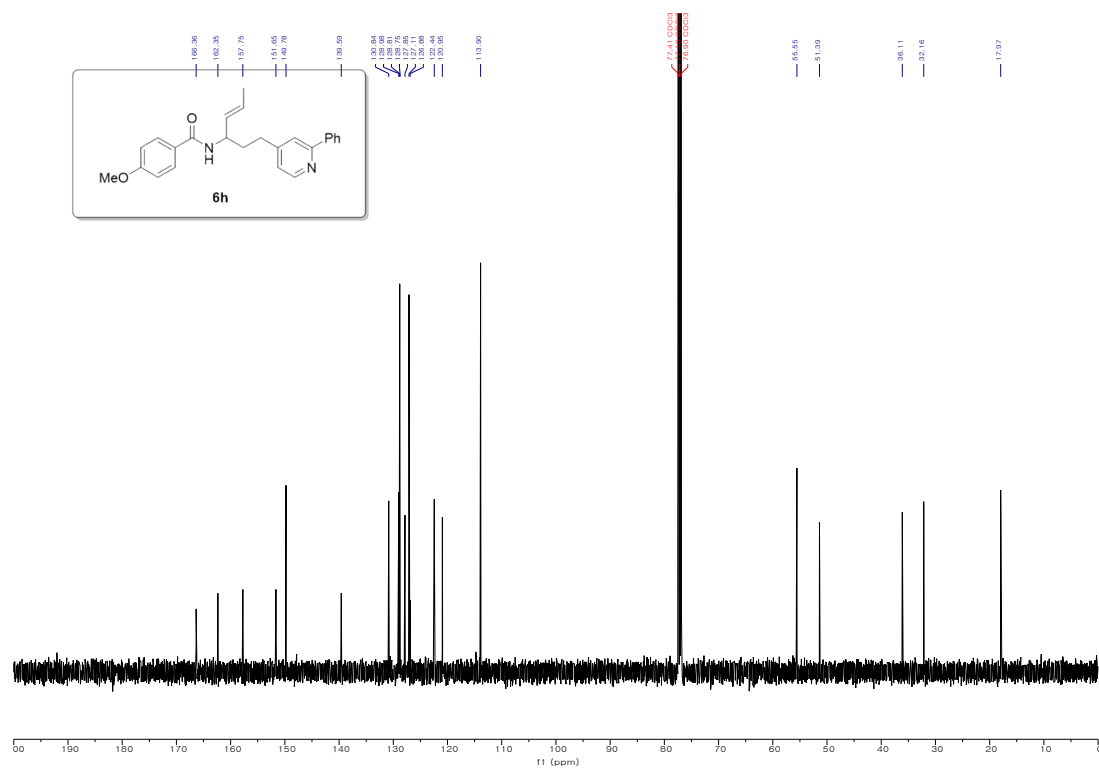


100 MHz, ¹³C NMR in CDCl₃

(E)-4-methoxy-N-(1-(2-phenylpyridin-4-yl)hex-4-en-3-yl)benzamide (6h).

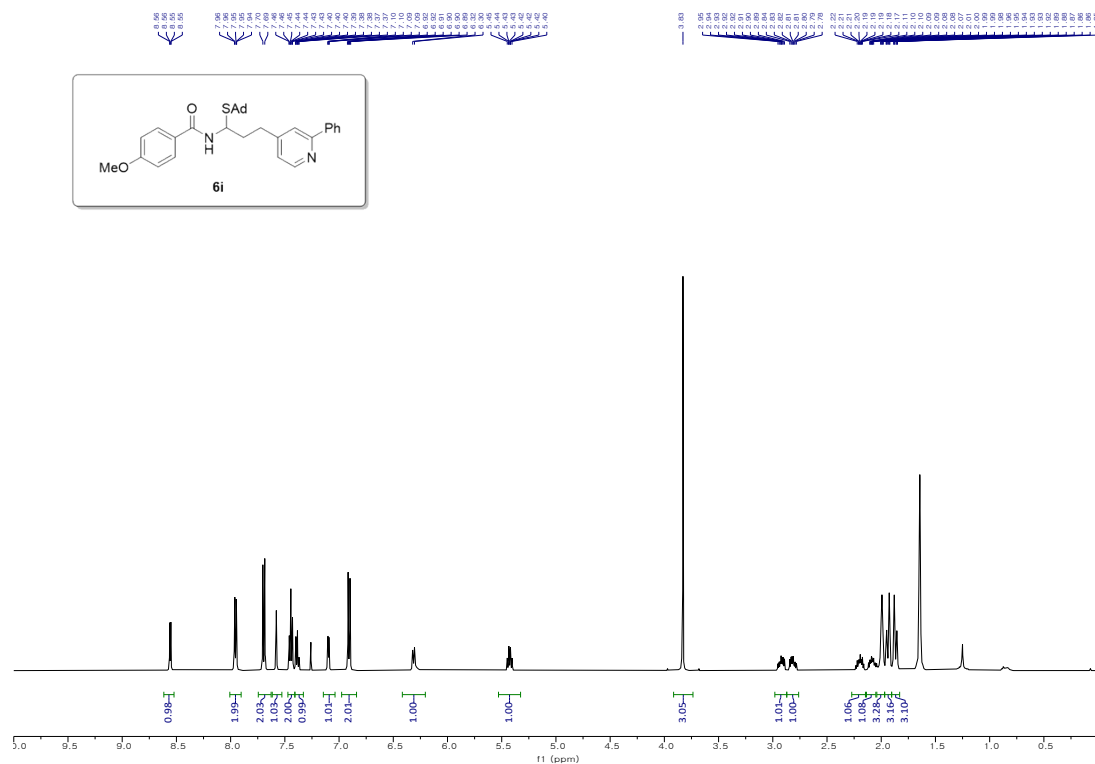


500 MHz, ¹H NMR in CDCl₃

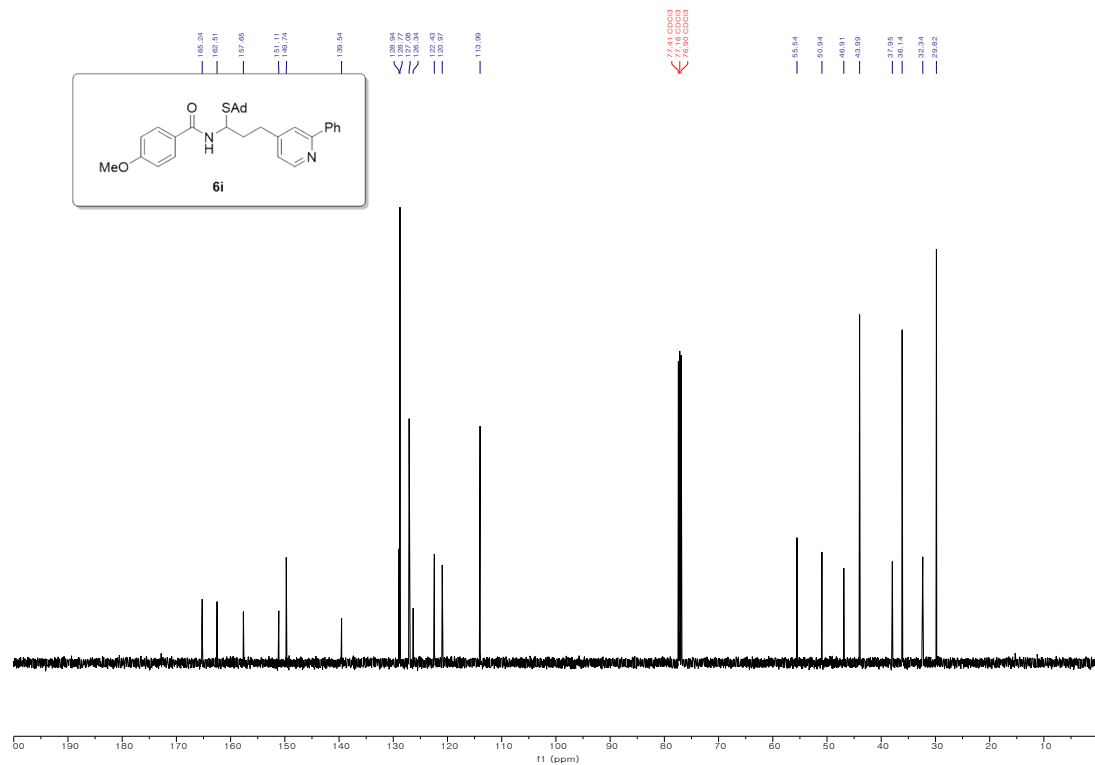


125 MHz, ¹³C NMR in CDCl₃

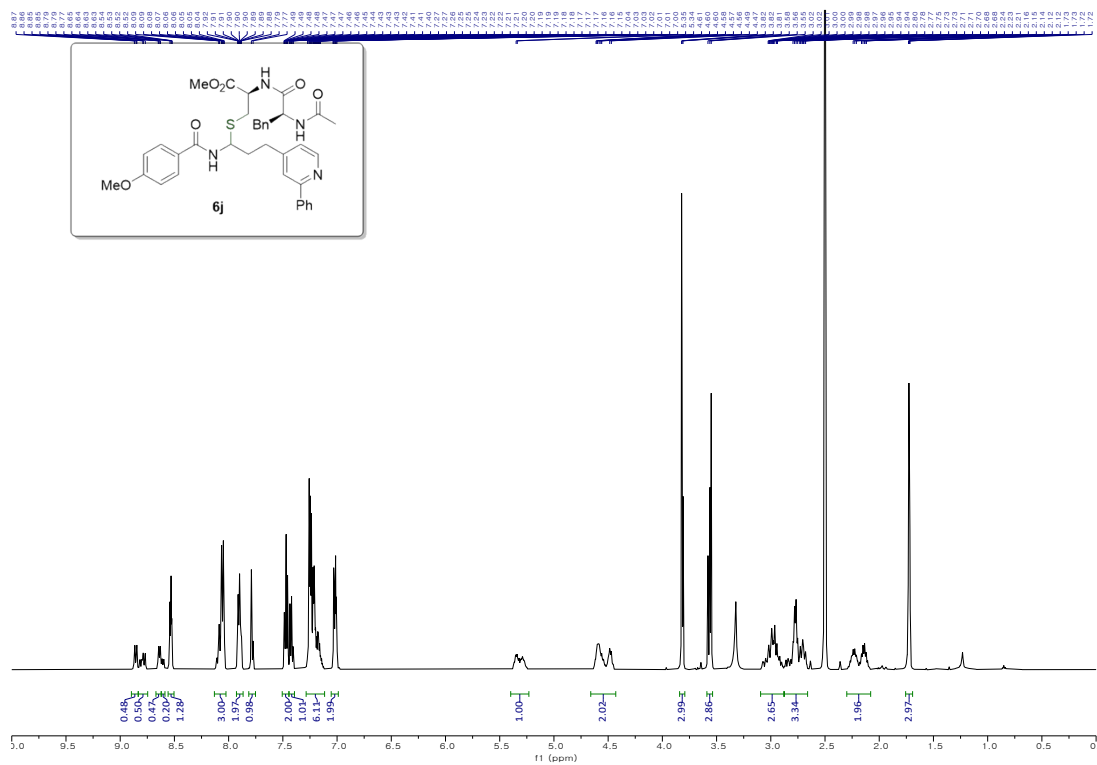
N-(1-(((3s,5s,7s)-adamantan-1-yl)thio)-3-(2-phenylpyridin-4-yl)propyl)-4-methoxybenzamide (6i).



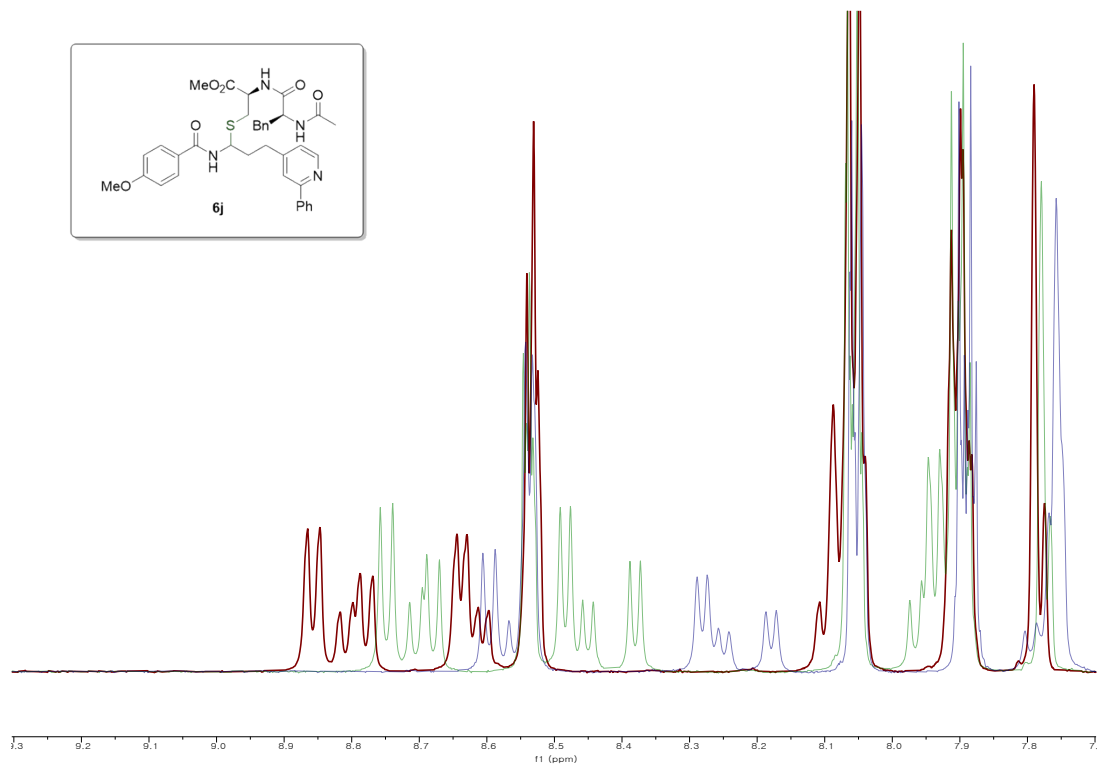
500 MHz, ¹H NMR in CDCl₃



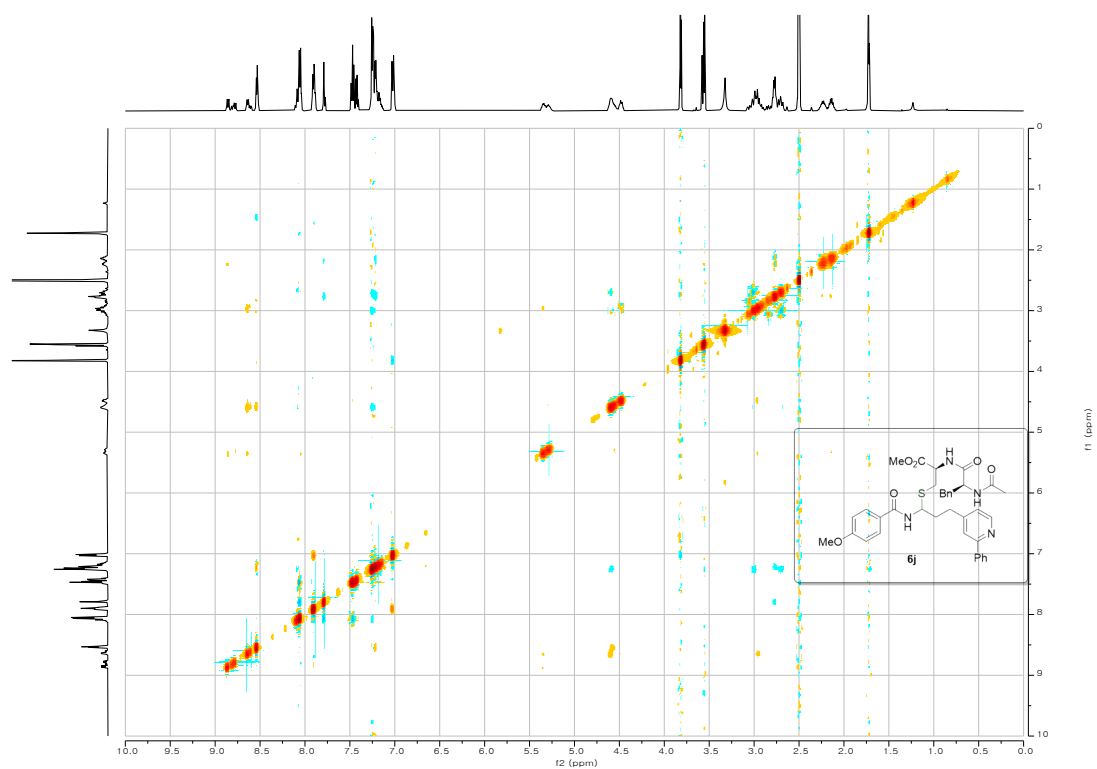
125 MHz, ¹³C NMR in CDCl₃



500 MHz, ^1H NMR in $\text{C}_2\text{D}_6\text{SO}$, 298 K

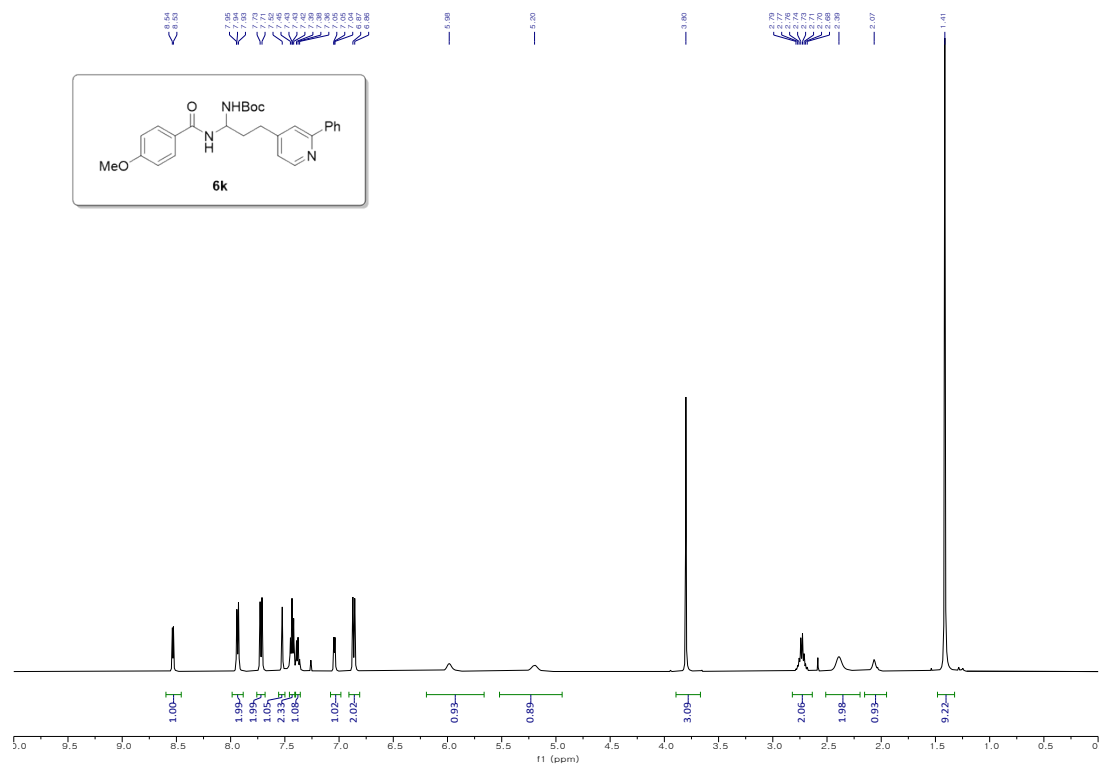


500 MHz, ^1H NMR in $\text{C}_2\text{D}_6\text{SO}$, 298 K, 323 K, 353 K (Red, Green, Blue)

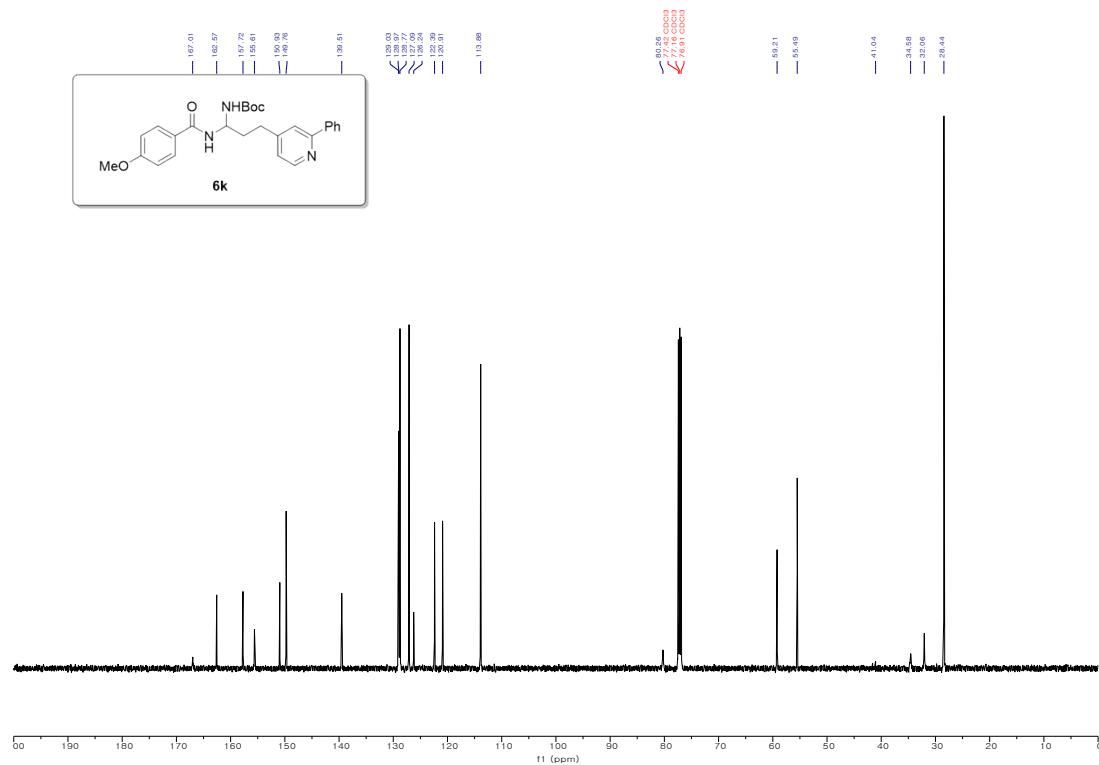


500 MHz, NOESY in C₂D₆SO, 298 K

tert-butyl (1-(4-methoxybenzamido)-3-(2-phenylpyridin-4-yl)propyl)carbamate (6k).

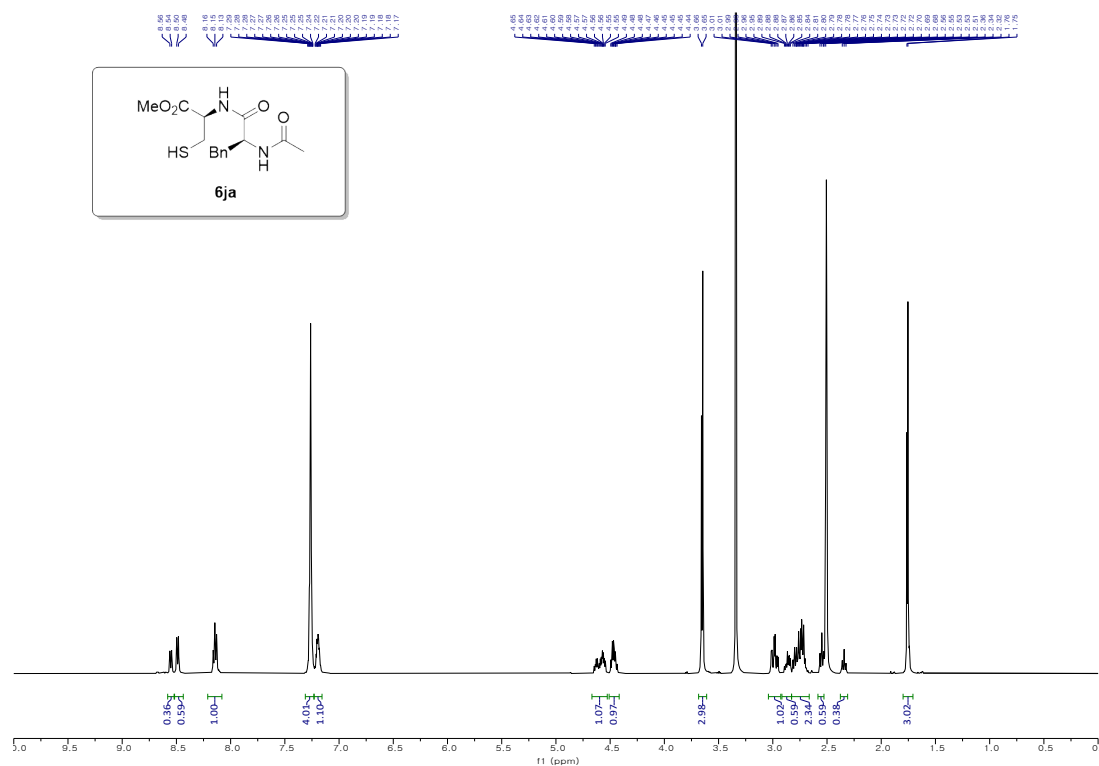


500 MHz, ¹H NMR in CDCl₃

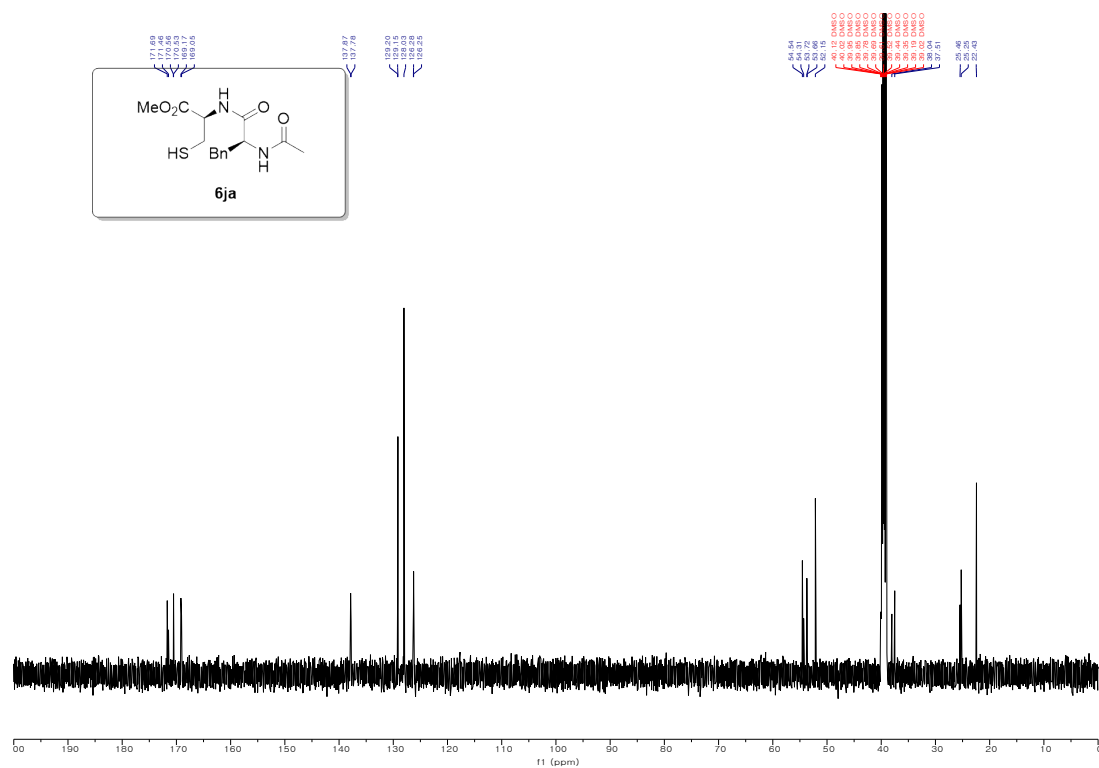


125 MHz, ¹³C NMR in CDCl₃

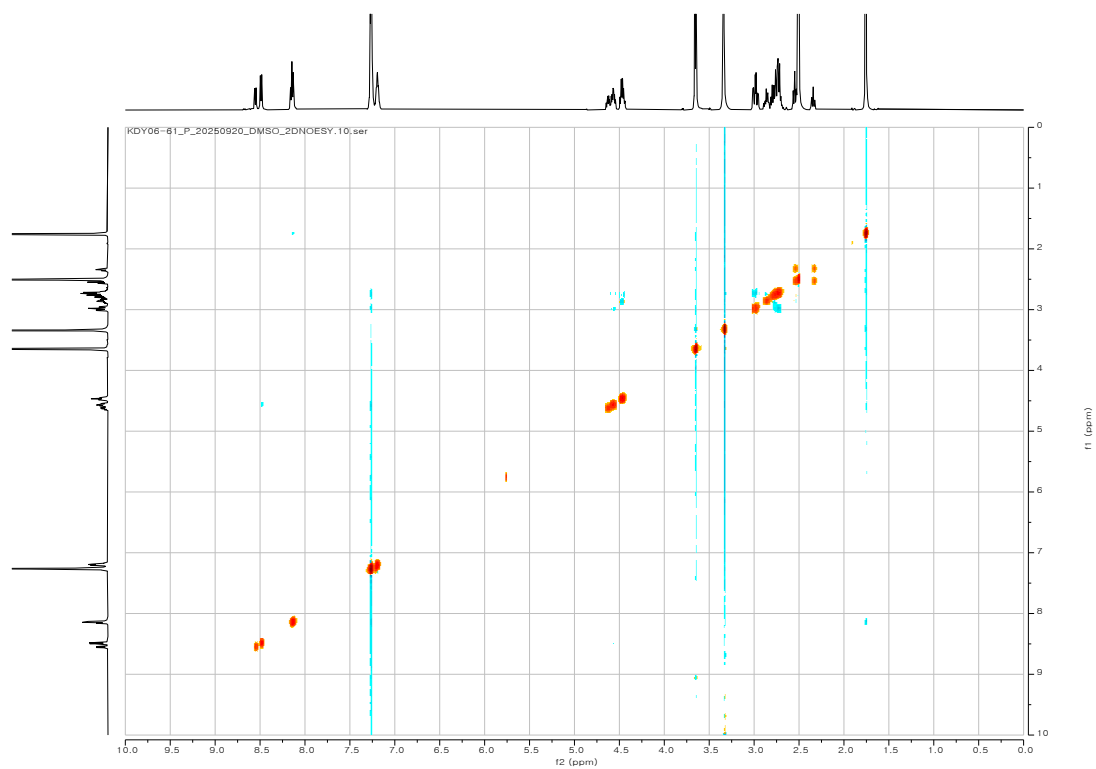
methyl acetyl-L-phenylalanyl-L-cysteinate (6ja).



500 MHz, ¹H NMR in C2D6SO

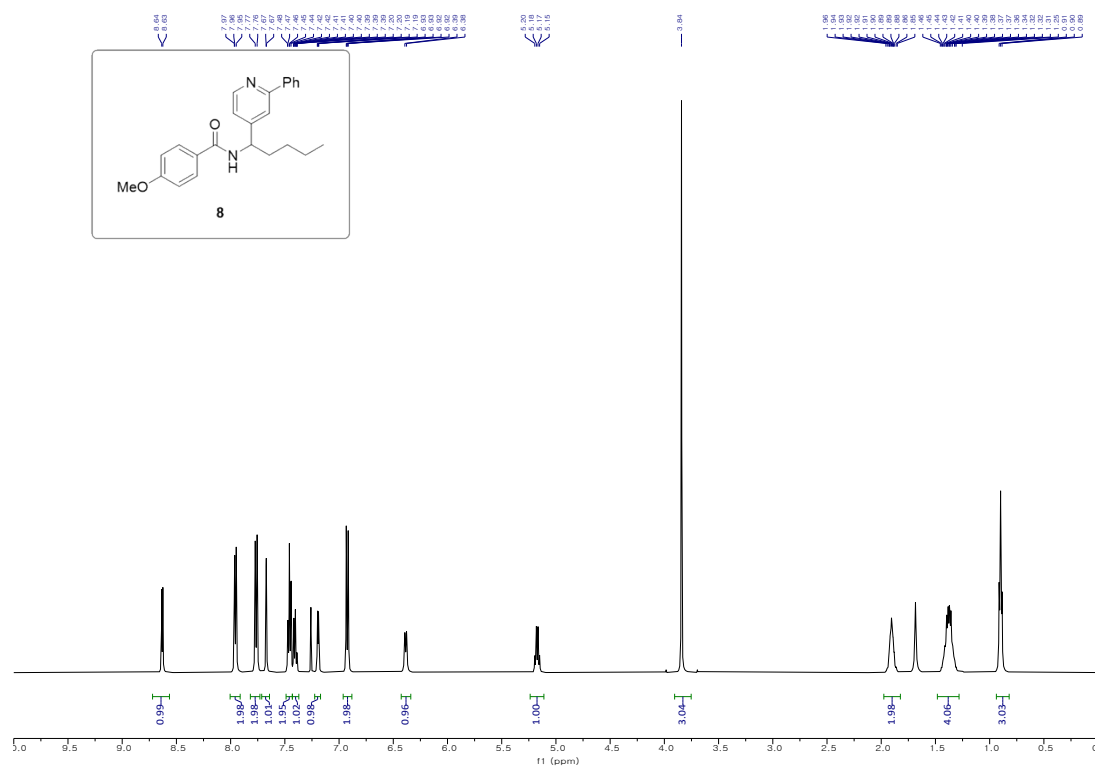


125 MHz, ¹³C NMR in C2D6SO

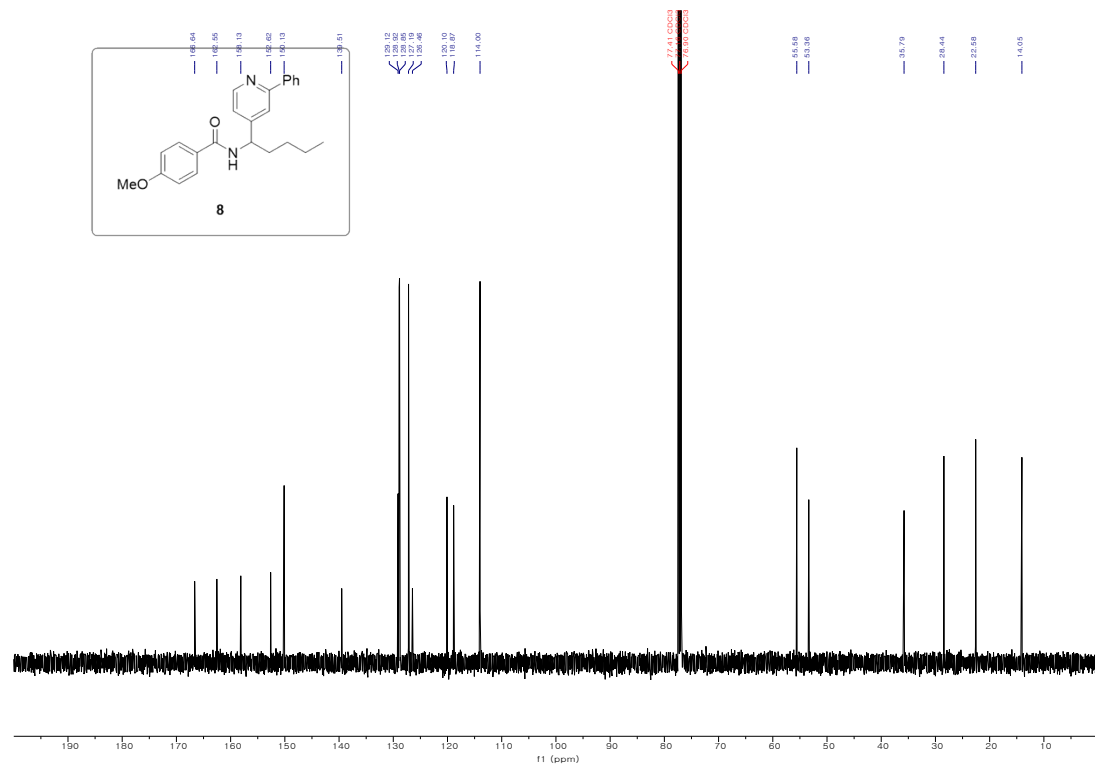


500 MHz, 2D NOESY NMR in C₂D₆SO

4-methoxy-N-(1-(2-phenylpyridin-4-yl)pentyl)benzamide (8).



500 MHz, ^1H NMR in CDCl_3



125 MHz, ^{13}C NMR in CDCl_3