

Supporting Information

Photocatalytic redox-neutral α -C(sp³)-H pyridination of glycine derivatives and *N*-arylamines with cyanopyridines

Changduo Pan,* Dongdong Chen, Yangjian Cheng and Jin-Tao Yu*

Email: panchangduo@jsut.edu.cn; yujintao@cczu.edu.cn

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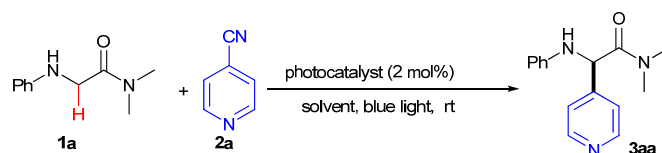
1. General Considerations

General Information: Unless otherwise noted, all chemicals were purchased and used without further purification. ^1H NMR and ^{13}C NMR spectra were recorded at ambient temperature on a 400 MHz NMR spectrometer (101 MHz for ^{13}C). NMR experiments are reported in δ units, parts per million (ppm). The coupling constants J are given in Hz. Column chromatography was performed using EM Silica gel 60 (300-400 mesh). HRMS were recorded on a TOF LC/MS equipped with electrospray ionization (ESI) probe operating in positive ion mode. Emission intensities were recorded using a FS5 spectrophotometer. Cyclic voltammetry was performed on the CHI-660E electrochemical workstation (Shanghai Chenhua Instrument Co., Ltd., China).

2. General Synthetic Procedures

All substrates are known compounds. Glycine derivatives **1a-1s** were synthesized according to the literature.¹ *N*-aryl tetrahydroisoquinolines **4a-4u** were prepared according to the literature.² Photocatalyst 3CzCIIPN was synthesized according to the literature.³

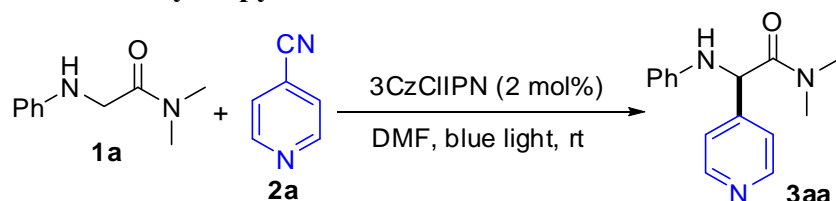
Table S1. Screening the optimal reaction conditions.^a



Entry	Photocatalyst	Solvent	Yield (%)
1	4CzIPN	DMSO	40
2	4CzIPN	DMF	53
3	4CzIPN	MeCN	32
4	4CzIPN	DCE	11
5	4CzIPN	EtOAc	7
6	4CzIPN	DCM	16
7	4CzIPN	THF	13
8	5CzBN	DMF	39
9	3CzCIIPN	DMF	66(81) ^b
10	Eosin Y	DMF	trace
11	Rhodamine B	DMF	0
12	Rose Bengal	DMF	8

^a Reaction conditions: **1a** (0.2 mmol), **2a** (0.2 mmol) and photocatalyst (2 mol%) in solvent (2 mL) in a sealed Schlenk tube under N_2 with 6W blue LED irradiation at rt for 16 h. ^b **1a** (0.24 mmol). Isolated yield.

Typical procedure for photo-induced direct α -C(sp³)-H pyridination of *N*-arylamines with 4-cyanopyridine:



The mixture of *N,N*-dimethyl-2-(phenylamino)acetamide **1a** (0.24 mmol, 42.7 mg), **2a** (0.2 mmol, 20.8 mg), 3CzClIPN (2 mol%, 0.004 mmol, 2.6 mg) and DMF (2 mL) was added to a Schlenk tube. The tube was evacuated and backfilled with nitrogen (repeated five times). The reaction mixture was irradiated with 6W blue LEDs at ambient temperature for 16 h. Then, the reaction mixture was diluted with ethyl acetate (20 mL) and washed with sat. NaHCO₃ (10 mL) and brine (10 mL). After drying over sodium sulfate, the solvent was evaporated under reduced pressure, and the residue was purified by silica gel flash column chromatography (eluent: petroleum ether/ethyl acetate =1/1) to obtain the product **3aa** (81%, 41.3 mg). The photoreactor is shown in Figure S1.



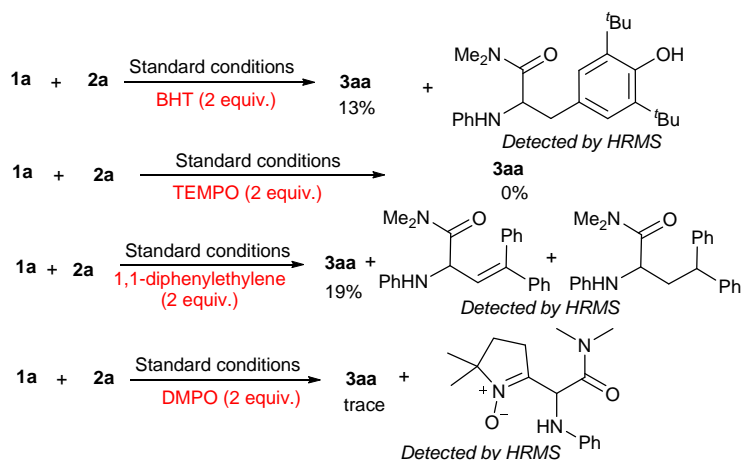
Figure S1. Photoreactor used in this work.

1 mmol scale preparation of 3aa

The mixture of *N,N*-dimethyl-2-(phenylamino)acetamide **1a** (1.2 mmol, 213.5 mg), **2a** (1 mmol, 104 mg), 3CzClIPN (2 mol%, 0.02 mmol, 13 mg) and DMF (10 mL) was added to a Schlenk tube. The tube was evacuated and backfilled with nitrogen (repeated five times). The reaction mixture was irradiated with 6W blue LEDs at ambient temperature for 16 h. Then, the reaction mixture was diluted with ethyl acetate (40 mL) and washed with sat. NaHCO₃ (20 mL) and brine (20 mL). After drying over sodium sulfate, the solvent was evaporated under reduced pressure, and the residue was purified by silica gel flash column chromatography (eluent: petroleum ether/ethyl acetate =1/1) to obtain the product **3aa** (69%, 176 mg).

3. Mechanism studies

3.1 Radical inhibition experiment for the reaction of 1a with 2a



The mixture of **1a** (0.12 mmol, 21.4 mg), **2a** (0.1 mmol, 10.4 mg), 3CzCIIPN (2 mol%, 1.3 mg), BHT (0.2 mmol, 44 mg), TEMPO (0.2 mmol, 31.2 mg), 1,1-diphenylethylene (0.2 mmol, 36 mg) or DMPO (0.2 mmol, 22.6 mg) and DMF (1 mL) was added to a Schlenk tube. The tube was evacuated and backfilled with nitrogen (repeated five times). The reaction mixture was irradiated with 6W blue LEDs at ambient temperature for 16 h. α -Amino alkyl radical trapped adduct was detected by HRMS (Figure S2-S4).

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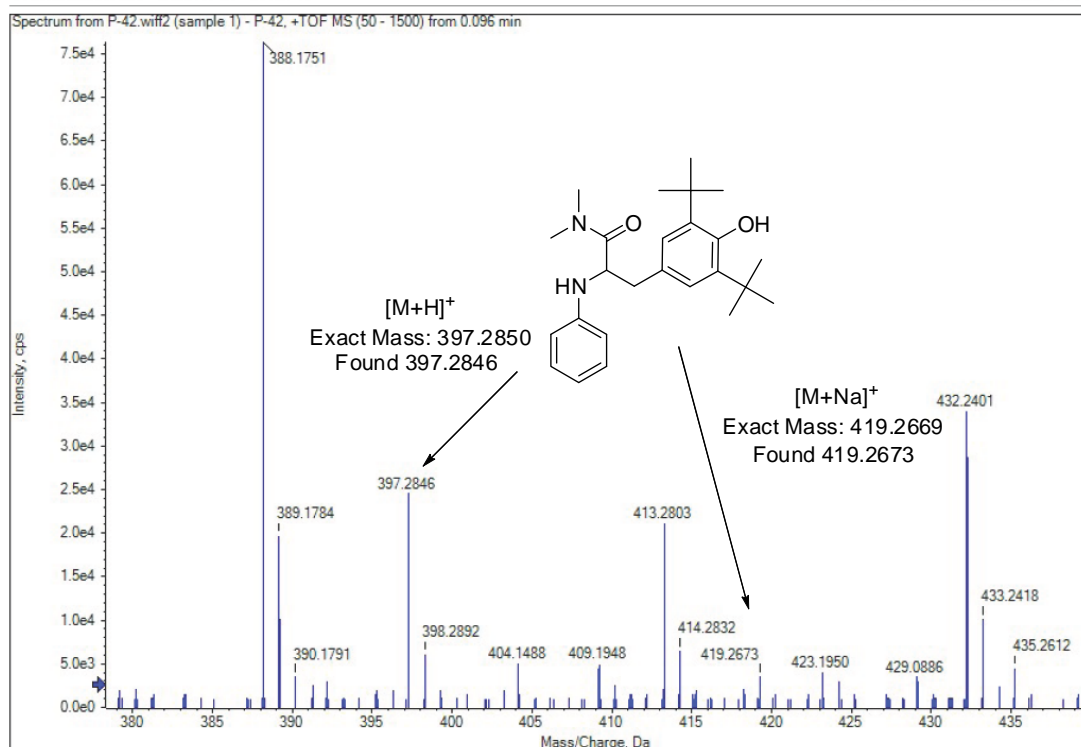


Figure S2. The adduct of BHT and α -amino alkyl radical intermediate detected by HRMS.

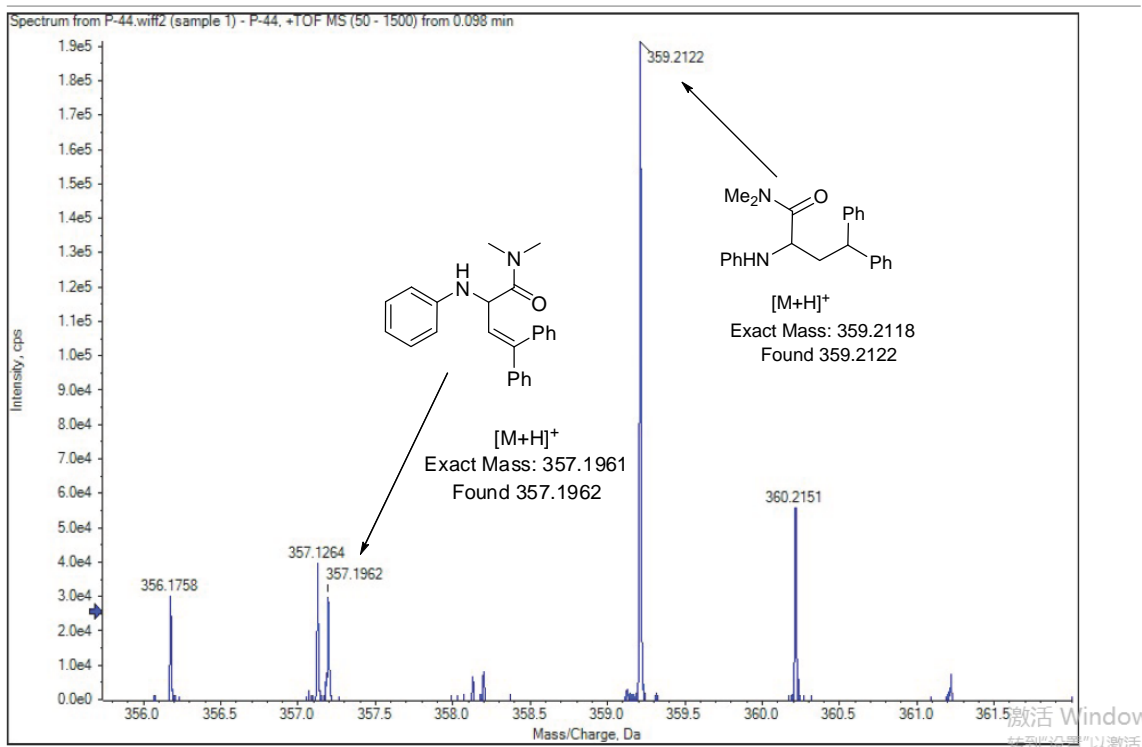


Figure S3. The adduct of 1,1-diphenylethylene and α -amino alkyl radical intermediate detected by HRMS.

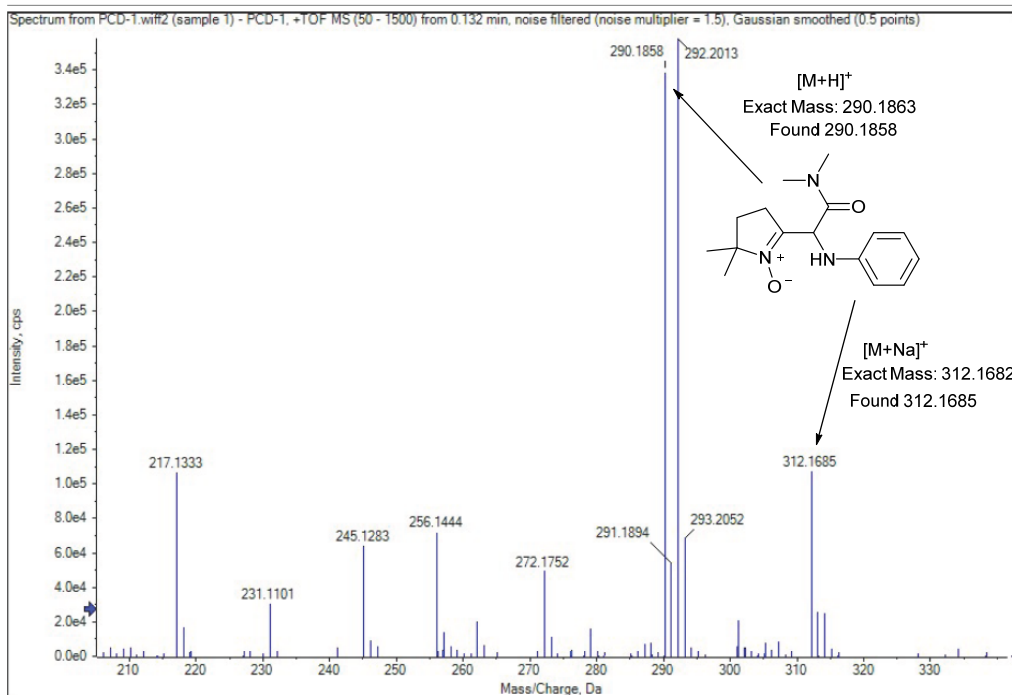


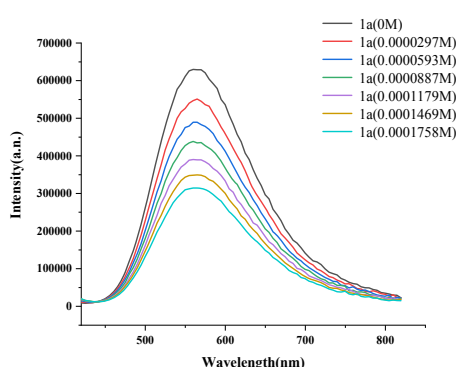
Figure S4. The adduct of DMPO and α -amino alkyl radical intermediate detected by HRMS.

3.2 Stern–Volmer luminescence-quenching experiments

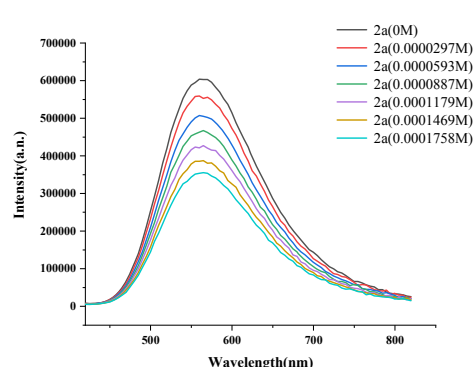
Fluorescence quenching experiments were measured on an Agilent Cary Eclipse Spectrophotometer. The excitation wavelength of 3CzCIIPN was fixed at 340 nm with emission spectrum $\lambda_{\max} = 560$ nm. The emission spectrum of a 3×10^{-5} M solution of 3CzCIIPN in DMF was collected.

1a: A stock solution of **1a** (0.01 M) was prepared. The emission intensity of 3CzCIIPN (3×10^{-5} M in DMF) was collected with the gradient concentration of **1a** and the results were presented.

2a: A stock solution of **2a** (0.01 M) was prepared. The emission intensity of 3CzCIIPN (3×10^{-5} M in DMF) was collected with the gradient concentration of **2a** and the results were presented.



Fluorescence quenching of 3CzCIIPN by **1a**



Fluorescence quenching of 3CzCIIPN by **2a**

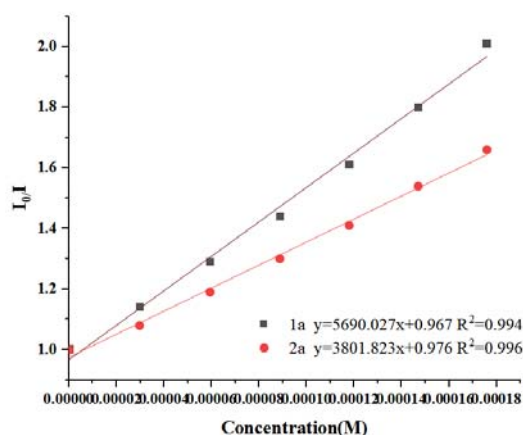


Figure S5. Luminescence quenching of 3CzCIIPN by **1a** or **2a** and the Stern-Volmer plots.

3.3 Cyclic voltammetry study

Cyclic voltammetric investigations were performed on the ChenhuaCHI400C electrochemical workstation with the conventional three-electrode system. The measurements were conducted in 0.1 M tetrabutylammonium hexafluorophosphate (TBAPF₆) in CH₃CN. The solutions were kept under positive pressure of nitrogen. Cyclic voltammetry (CV) with the following settings: Scan Rates = 0.1 V/s, Sweep Segments = 10, Sample Interval = 0.001 V, Quiet Time = 2 sec. CV recording is

based on the traditional IUPAC (positive anode current and negative cathode current).

Supporting electrolyte: TBAPF₆ was purchased from Energy Chemical and used without further purification. The concentration of electrolyte is 0.1 M.

Solvent: Anhydrous CH₃CN was purchased from Energy Chemical and exhausted via a nitrogen blast for 1 h before using.

Electrodes: The working electrode is a glassy carbon electrode (Φ 3 mm, 7×10^{-6} cm²). It was first polished with sandpaper in steps (3000 mesh–2000 mesh–1000 mesh); then with 1.0 μ m, 0.3 μ m, and 0.05 μ m alumina powder until the surface of the electrode is mirror-like, then, the electrodes are washed with distilled water and acetone before air drying. Saturated calomel electrode (SCE) was used as the reference electrode. Pt column (Φ 1 mm x 5 mm) was used as the counter electrode.

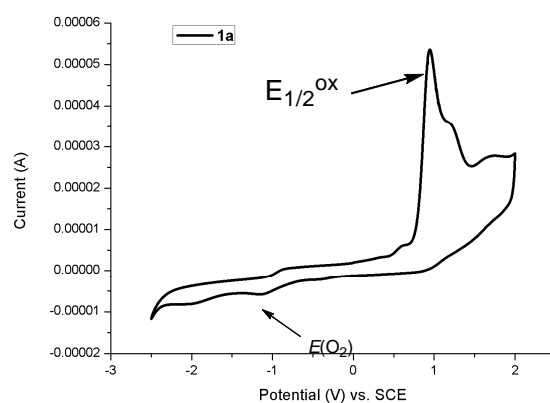


Figure S6. The CV experiment of **1a** (1.0×10^{-3} M) and NBu₄PF₆ (0.1 M) in degassed CH₃CN, $E_{1/2}^{\text{ox}} = +0.87$ V SCE for **1a**.

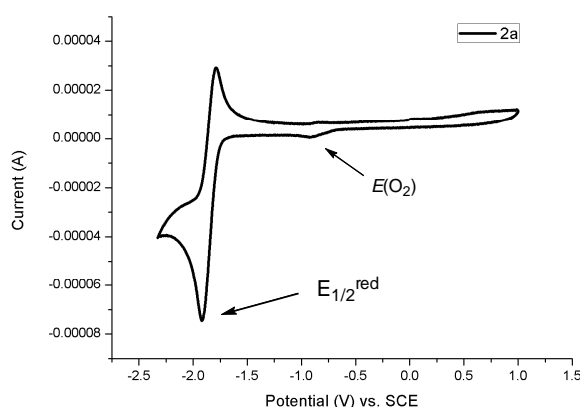


Figure S7. The CV experiment of **2a** (1.0×10^{-3} M) and NBu₄PF₆ (0.1 M) in degassed CH₃CN, $E_{1/2}^{\text{red}} = -1.84$ V SCE for **2a**.

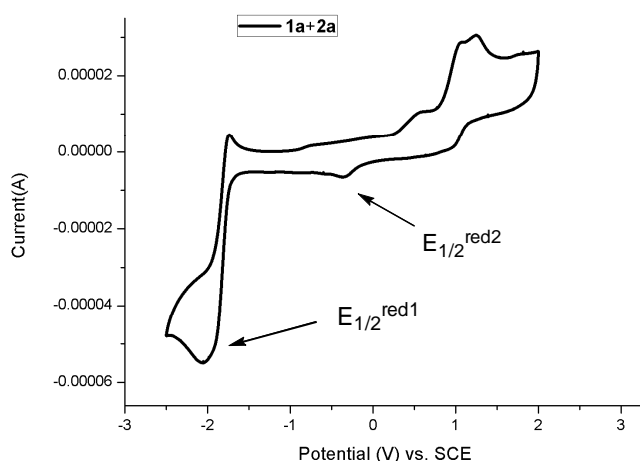
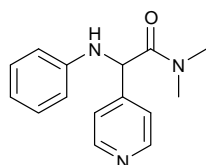
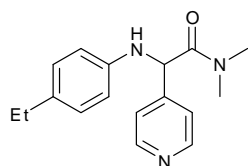


Figure S8. The CV experiment of **1a** (1.0×10^{-3} M) with **2a** (1.0×10^{-3} M) and NBu_4PF_6 (0.1 M) in degassed CH_3CN , **1a** was firstly oxidized and then **2a** was reduced, $E_{1/2}^{\text{red1}} = -1.84$ V SCE, $E_{1/2}^{\text{red2}} = -0.26$ V SCE.

4. Characterization Data for the Products

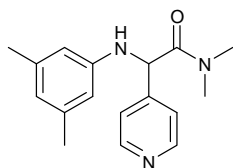


***N,N*-dimethyl-2-(phenylamino)-2-(pyridin-4-yl)acetamide (3aa**, 43.1 mg, 81%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.56 (d, $J = 6.0$ Hz, 2H), 7.37 (d, $J = 6.1$ Hz, 2H), 7.13-7.08 (m, 2H), 6.67 (t, $J = 7.4$ Hz, 1H), 6.58 (d, $J = 7.7$ Hz, 2H), 5.45 (s, 1H), 5.28 (s, 1H), 3.05 (s, 3H), 2.96 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.6, 150.3, 147.4, 145.7, 129.3, 122.7, 118.2, 113.5, 57.0, 37.2, 36.4; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{18}\text{N}_3\text{O}$ 256.1444; Found 256.1437.

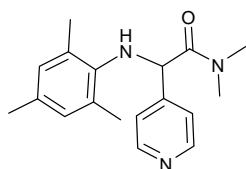


2-((4-ethylphenyl)amino)-*N,N*-dimethyl-2-(pyridin-4-yl)acetamide (3ba, 50.9 mg, 90%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.56 (d, $J = 6.0$ Hz, 2H), 7.36 (d, $J = 6.0$ Hz, 2H), 6.95 (d, $J = 8.4$ Hz, 2H), 6.53 (d, $J = 8.4$ Hz, 2H), 5.27 (s, 2H), 3.05 (s, 3H), 2.96 (s, 3H), 2.48 (q, $J = 7.6$ Hz, 2H), 1.13 (t, $J = 7.6$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.7, 150.3, 147.6, 143.7, 134.1, 128.6, 122.7, 113.7, 57.4, 37.2, 36.3, 27.9, 15.8; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{22}\text{N}_3\text{O}$ 284.1757; Found

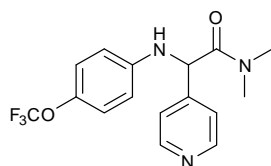
284.1753.



2-((3,5-dimethylphenyl)amino)-N,N-dimethyl-2-(pyridin-4-yl)acetamide (3ca, 44.2 mg, 78%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.57 (d, $J = 6.1$ Hz, 2H), 7.38 (d, $J = 6.1$ Hz, 2H), 6.35 (s, 1H), 6.23 (s, 2H), 5.29 (s, 2H), 3.08 (s, 3H), 2.97 (s, 3H), 2.18 (s, 6H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.7, 150.2, 147.7, 145.8, 138.9, 122.7, 120.3, 111.5, 57.0, 37.2, 36.3, 21.5; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{22}\text{N}_3\text{O}$ 284.1757; Found 284.1749.

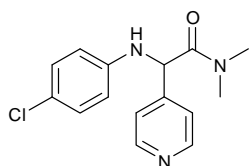


2-(mesitylamino)-N,N-dimethyl-2-(pyridin-4-yl)acetamide (3da, 26.7 mg, 45%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.50 (d, $J = 6.0$ Hz, 2H), 7.19 (d, $J = 6.0$ Hz, 2H), 6.73 (s, 2H), 5.06 (s, 1H), 4.72 (s, 1H), 2.99 (s, 3H), 2.88 (s, 3H), 2.18 (s, 9H); ^{13}C NMR (101 MHz, CDCl_3) δ 171.0, 150.1, 147.4, 140.7, 131.6, 129.6, 129.5, 122.3, 59.8, 36.9, 36.2, 20.5, 18.9; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{18}\text{H}_{24}\text{N}_3\text{O}$ 298.1914; Found 298.1905.

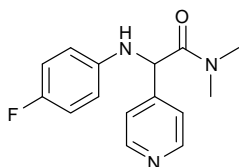


N,N-dimethyl-2-(pyridin-4-yl)-2-((4-(trifluoromethoxy)phenyl)amino)acetamide (3ea, 46.1 mg, 68%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1.5) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.59 (d, $J = 6.0$ Hz, 2H), 7.36 (d, $J = 6.0$ Hz, 2H), 6.96 (d, $J = 8.4$ Hz, 2H), 6.53 (d, $J = 9.0$ Hz, 2H), 5.55 (d, $J = 7.1$ Hz, 1H), 5.22 (d, $J = 7.1$ Hz, 1H), 3.07 (s, 3H), 2.98 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.2, 150.5, 146.8, 144.4, 140.92, 140.90, 122.6, 122.5, 120.6 (q, $J = 256.3$ Hz), 113.7, 57.2, 37.2, 36.4; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for

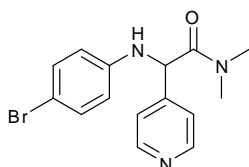
C₁₆H₁₇F₃N₃O₂ 340.1267; Found 340.1258.



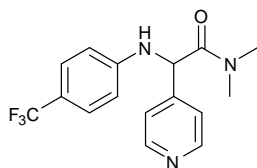
2-((4-chlorophenyl)amino)-N,N-dimethyl-2-(pyridin-4-yl)acetamide (3fa), 41.1 mg, 71%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave yellow solid; ¹H NMR (400 MHz, CDCl₃) δ 8.56 (d, *J* = 4.8 Hz, 2H), 7.34 (d, *J* = 4.7 Hz, 2H), 7.03 (d, *J* = 8.4 Hz, 2H), 6.49 (d, *J* = 8.7 Hz, 2H), 5.50 (s, 1H), 5.21 (s, 1H), 3.04 (s, 3H), 2.96 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 169.2, 150.3, 146.9, 144.2, 129.1, 122.8, 122.7, 114.6, 57.1, 37.1, 36.4; HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₁₅H₁₇ClN₃O 290.1055; Found 290.1047.



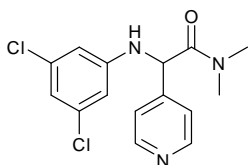
2-((4-fluorophenyl)amino)-N,N-dimethyl-2-(pyridin-4-yl)acetamide (3ga), 40.4 mg, 74%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ¹H NMR (400 MHz, CDCl₃) δ 8.56 (d, *J* = 6.0 Hz, 2H), 7.34 (d, *J* = 6.1 Hz, 2H), 6.80 (t, *J* = 8.6 Hz, 2H), 6.53-6.49 (m, 2H), 5.30 (s, 1H), 5.20 (s, 1H), 3.04 (s, 3H), 2.96 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 169.4, 156.1 (d, *J* = 237.0 Hz), 150.4, 147.1, 144.1 (d, *J* = 2.0 Hz), 122.7, 115.8 (d, *J* = 22.5 Hz), 114.7 (d, *J* = 7.5 Hz), 57.8, 37.1, 36.4; HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₁₅H₁₇FN₃O 274.1350; Found 274.1343.



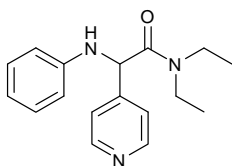
2-((4-bromophenyl)amino)-N,N-dimethyl-2-(pyridin-4-yl)acetamide (3ha), 50.6 mg, 76%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ¹H NMR (400 MHz, CDCl₃) δ 8.56 (d, *J* = 5.8 Hz, 2H), 7.33 (d, *J* = 5.9 Hz, 2H), 7.16 (d, *J* = 8.7 Hz, 2H), 6.44 (d, *J* = 8.7 Hz, 2H), 5.52 (d, *J* = 7.0 Hz, 1H), 5.20 (d, *J* = 6.8 Hz, 1H), 3.04 (s, 3H), 2.95 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 169.1, 150.4, 146.7, 144.6, 132.0, 122.6, 115.1, 109.8, 56.9, 37.2, 36.4; HRMS (ESI) *m/z*: [M+H]⁺ Calcd for C₁₅H₁₇BrN₃O 334.0550; Found 334.0544.



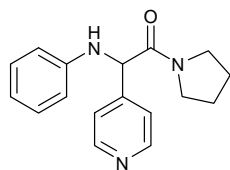
***N,N*-dimethyl-2-((4-(trifluoromethyl)phenyl)amino)acetamide (3ia**, 40.7 mg, 63%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.58 (d, $J = 5.9$ Hz, 2H), 7.37 (d, $J = 6.0$ Hz, 2H), 7.32 (d, $J = 8.7$ Hz, 2H), 6.57 (d, $J = 8.5$ Hz, 2H), 5.30 (d, $J = 6.8$ Hz, 1H), 5.28 (d, $J = 6.9$ Hz, 1H), 3.07 (s, 3H), 2.97 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 168.8, 150.5, 148.0, 146.5, 126.6 (q, $J = 3.7$ Hz), 124.8 (q, $J = 271.5$ Hz), 122.6, 119.5 (q, $J = 32.7$ Hz), 112.5, 56.4, 37.1, 36.5; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{16}\text{H}_{17}\text{F}_3\text{N}_3\text{O}$ 324.13180; Found 324.1315.



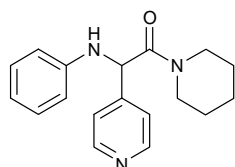
2-((3,5-dichlorophenyl)amino)-*N,N*-dimethyl-2-(pyridin-4-yl)acetamide (3ja, 51.7 mg, 80%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.60 (d, $J = 6.1$ Hz, 2H), 7.35 (d, $J = 6.1$ Hz, 2H), 6.63 (s, 1H), 6.43 (s, 2H), 5.79 (d, $J = 7.0$ Hz, 1H), 5.18 (d, $J = 7.0$ Hz, 1H), 3.06 (s, 3H), 2.98 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 168.6, 150.6, 147.2, 146.2, 135.5, 122.6, 117.8, 111.6, 56.5, 37.2, 36.5; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{15}\text{H}_{16}\text{Cl}_2\text{N}_3\text{O}$ 324.0665; Found 324.0660.



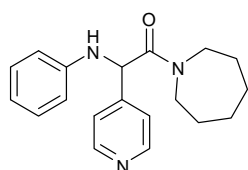
***N,N*-diethyl-2-(phenylamino)-2-(pyridin-4-yl)acetamide (3ka**, 40.2 mg, 71%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.56 (d, $J = 6.0$ Hz, 2H), 7.37 (d, $J = 6.1$ Hz, 2H), 7.12 (t, $J = 8.2$ Hz, 2H), 6.69 (t, $J = 7.4$ Hz, 1H), 6.61 (d, $J = 7.7$ Hz, 2H), 5.39 (s, 1H), 5.23 (s, 1H), 3.52-3.41 (m, 2H), 3.34-3.26 (m, 2H), 1.08 (q, $J = 7.0$ Hz, 6H); ^{13}C NMR (101 MHz, CDCl_3) δ 168.7, 150.3, 147.9, 145.8, 129.3, 122.7, 118.3, 113.6, 57.1, 41.7, 40.9, 14.2, 12.7; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{22}\text{N}_3\text{O}$ 284.1757; Found 284.1749.



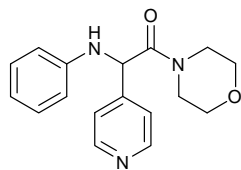
2-(phenylamino)-2-(pyridin-4-yl)-1-(pyrrolidin-1-yl)ethan-1-one (31a, 39.9 mg, 71%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.57 (d, $J = 5.8$ Hz, 2H), 7.40 (d, $J = 5.8$ Hz, 2H), 7.11 (t, $J = 7.7$ Hz, 2H), 6.67 (t, $J = 7.3$ Hz, 1H), 6.57 (d, $J = 8.2$ Hz, 2H), 5.51 (s, 1H), 5.08 (s, 1H), 3.70-3.64 (m, 1H), 3.59-3.53 (m, 1H), 3.45-3.38 (m, 1H), 3.25-3.29 (m, 1H), 1.98-1.75 (m, 4H); ^{13}C NMR (101 MHz, CDCl_3) δ 167.8, 150.3, 147.4, 145.7, 129.3, 122.9, 118.1, 113.5, 58.9, 46.7, 46.6, 26.0, 23.9; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{20}\text{N}_3\text{O}$ 282.1601; Found 282.1592.



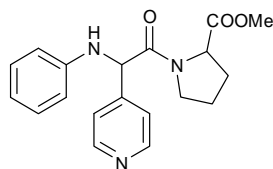
2-(phenylamino)-1-(piperidin-1-yl)-2-(pyridin-4-yl)ethan-1-one (3ma, 50.8 mg, 86%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.55 (d, $J = 5.0$ Hz, 2H), 7.36 (d, $J = 5.4$ Hz, 2H), 7.10 (t, $J = 7.7$ Hz, 2H), 6.67 (t, $J = 7.3$ Hz, 1H), 6.59 (d, $J = 8.2$ Hz, 2H), 5.52 (d, $J = 6.1$ Hz, 1H), 5.29 (d, $J = 6.0$ Hz, 1H), 3.63-3.60 (m, 1H), 3.50-3.46 (m, 3H), 1.55-1.41 (m, 5H), 1.17-1.09 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 167.7, 150.3, 147.9, 145.8, 129.3, 122.6, 118.1, 113.5, 58.8, 46.6, 43.9, 25.9, 25.4, 24.3; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{18}\text{H}_{22}\text{N}_3\text{O}$ 296.1757; Found 296.1748.



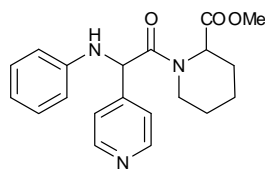
1-(azepan-1-yl)-2-(phenylamino)-2-(pyridin-4-yl)ethan-1-one (3na, 40.2 mg, 65%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.56 (d, $J = 5.5$ Hz, 2H), 7.38 (d, $J = 5.8$ Hz, 2H), 7.11 (t, $J = 7.7$ Hz, 2H), 6.67 (t, $J = 7.3$ Hz, 1H), 6.60 (d, $J = 8.2$ Hz, 2H), 5.46 (s, 1H), 5.27 (s, 1H), 3.68-3.58 (m, 2H), 3.39-3.33 (m, 2H), 1.75-1.63 (m, 3H), 1.56-1.42 (m, 4H), 1.36-1.27 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.1, 150.3, 147.8, 145.8, 129.3, 122.8, 118.2, 113.6, 57.1, 47.7, 46.8, 28.9, 27.3, 27.2, 26.2; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{19}\text{H}_{24}\text{N}_3\text{O}$ 310.1914; Found 310.1909.



1-morpholino-2-(phenylamino)-2-(pyridin-4-yl)ethan-1-one (30a), 38.1 mg, 64%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.56 (d, $J = 6.0$ Hz, 2H), 7.34 (d, $J = 6.1$ Hz, 2H), 7.11 (t, $J = 7.4$ Hz, 2H), 6.69 (t, $J = 7.4$ Hz, 1H), 6.58 (d, $J = 8.4$ Hz, 2H), 5.42 (s, 1H), 5.27 (s, 1H), 3.61-3.56 (m, 6H), 3.46-3.35 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 168.2, 150.5, 147.3, 145.6, 129.4, 122.5, 118.5, 113.6, 66.6, 66.1, 56.8, 46.1, 42.9; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{20}\text{N}_3\text{O}_2$ 298.1550; Found 298.1543.

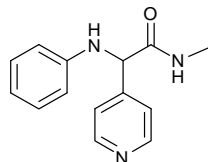


methyl (2-(phenylamino)-2-(pyridin-4-yl)acetyl)prolinate (3pa), 41.4 mg, 61%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.62-8.57 (m, 2H), 7.44-7.39 (m, 2H), 7.16-7.09 (m, 2H), 6.73-6.66 (m, 1H), 6.63-6.55 (m, 2H), 5.35 (d, $J = 6.3$ Hz, 0.46H), 5.23 (d, $J = 7.0$ Hz, 0.53H), 5.16-5.13 (m 1H), 4.58-4.54 (m, 0.52H), 4.45-4.41 (m, 0.47), 3.91-3.86 (m, 0.46H), 3.73 (s, 1.43H), 3.71-3.67 (m, 0.54H), 3.66 (s, 1.62 H), 3.45-3.35 (m, 1H), 2.25-1.89 (m, 5H); ^{13}C NMR (101 MHz, CDCl_3) δ 172.2, 171.9, 168.4, 150.3, 150.1, 146.9, 146.7, 145.9, 145.5, 129.4, 129.3, 123.1, 123.0, 118.5, 118.2, 113.7, 113.3, 59.6, 59.4, 59.0, 58.8, 52.4, 52.3, 47.1, 47.0, 28.84, 28.81, 24.9, 24.6; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{19}\text{H}_{22}\text{N}_3\text{O}_3$ 340.1656; Found 340.1647.

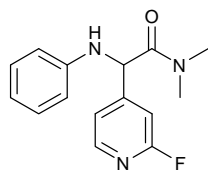


methyl 1-(2-(phenylamino)-2-(pyridin-4-yl)acetyl)piperidine-2-carboxylate (3qa), 53.7 mg, 76%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.59 (d, $J = 5.7$ Hz, 2H), 7.41-7.38 (m, 2H), 7.17-7.11 (m, 2H), 6.74-6.68 (m, 1H), 6.65-6.58 (m, 2H), 5.43-5.29 (m, 3H), 3.93-3.88 (m, 1H), 3.73 (s, 1.60H), 3.58 (s, 1.39H), 3.35-3.29 (m, 0.56H), 3.01-2.93 (m, 0.48), 2.31-2.21 (m, 1H), 1.75-1.44 (m, 4H), 1.34-1.28 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 171.1, 171.0, 169.8, 169.6, 150.4, 150.2, 147.8, 146.7,

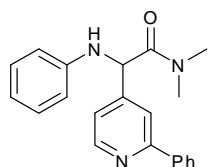
145.9, 145.7, 129.4, 129.3, 122.9, 122.6, 118.5, 118.3, 113.7, 113.4, 57.3, 57.1, 53.0, 52.8, 52.5, 52.2, 43.9, 43.5, 26.4, 26.3, 25.2, 24.5, 20.8, 20.7; HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{20}H_{24}N_3O_3$ 354.1812; Found 354.1803.



***N*-methyl-2-(phenylamino)-2-(pyridin-4-yl)acetamide (3ra**, 14.9 mg, 31%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1.5) gave colorless liquid; 1H NMR (400 MHz, $CDCl_3$) δ 8.59 (d, $J = 6.1$ Hz, 2H), 7.38 (d, $J = 6.0$ Hz, 2H), 7.19 (t, $J = 7.4$ Hz, 2H), 6.84-6.77 (m, 2H), 6.59 (d, $J = 7.7$ Hz, 2H), 4.77 (s, 1H), 4.69 (s, 1H), 2.83 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.3, 150.5, 147.6, 145.9, 129.5, 122.3, 119.5, 113.8, 62.9, 26.6; HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{14}H_{16}N_3O$ 242.1288; Found 242.1288.

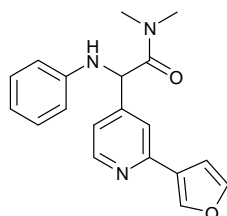


2-(2-fluoropyridin-4-yl)-*N,N*-dimethyl-2-(phenylamino)acetamide (3ab, 36.6 mg, 67%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid; 1H NMR (400 MHz, $CDCl_3$) δ 8.18 (d, $J = 5.2$ Hz, 2H), 7.29-7.26 (m, 1H), 7.14 (t, $J = 7.5$ Hz, 2H), 7.03 (s, 1H), 6.72 (t, $J = 7.4$ Hz, 1H), 6.58 (d, $J = 7.7$ Hz, 2H), 5.40 (d, $J = 7.2$ Hz, 1H), 5.33 (d, $J = 7.3$ Hz, 1H), 3.11 (s, 3H), 3.00 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 169.1, 164.2 (d, $J = 240.8$ Hz), 153.5 (d, $J = 7.1$ Hz), 148.3 (d, $J = 15.3$ Hz), 145.4, 129.4, 120.3 (d, $J = 4.2$ Hz), 118.5, 113.5, 108.6 (d, $J = 38.1$ Hz), 56.7 (d, $J = 2.9$ Hz), 37.3, 36.4; HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{15}H_{17}FN_3O$ 274.1350; Found 274.1345.

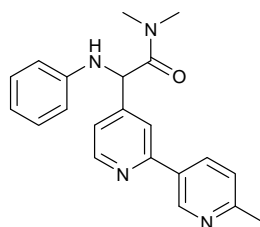


***N,N*-dimethyl-2-(phenylamino)-2-(2-phenylpyridin-4-yl)acetamide (3ac**, 56.9 mg, 86%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave white solid; 1H NMR (400 MHz, $CDCl_3$) δ 8.66 (d, $J = 5.0$ Hz, 1H), 7.22 (dd, $J = 7.8, 1.6$ Hz, 2H), 7.81 (s, 1H), 7.49-7.40 (m, 3H), 7.33 (dd, $J = 5.0, 1.6$ Hz, 1H),

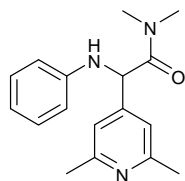
7.14 (d, $J = 7.4$ Hz, 2H), 6.70 (t, $J = 7.3$ Hz, 1H), 6.64 (d, $J = 7.7$ Hz, 2H), 5.50 (s, 1H), 5.34 (s, 1H), 3.10 (s, 3H), 2.99 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.6, 158.3, 150.2, 148.2, 145.8, 138.9, 129.4, 129.2, 128.8, 127.1, 121.3, 119.5, 118.3, 113.6, 57.3, 37.2, 36.4; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{21}\text{H}_{22}\text{N}_3\text{O}$ 332.1757; Found 332.1755.



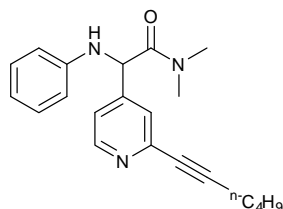
2-(2-(furan-3-yl)pyridin-4-yl)-N,N-dimethyl-2-(phenylamino)acetamide (3ad, 61 mg, 95%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.54 (d, $J = 5.1$ Hz, 1H), 8.02 (s, 1H), 7.52 (s, 1H), 7.47 (t, $J = 1.1$ Hz, 1H), 7.23 (dd, $J = 5.1, 1.6$ Hz, 1H), 7.12 (t, $J = 8.4$ Hz, 2H), 6.87 (d, $J = 2.4$ Hz, 1H), 6.69 (t, $J = 7.4$ Hz, 1H), 6.62 (d, $J = 8.5$ Hz, 2H), 5.45 (d, $J = 7.2$ Hz, 1H), 5.28 (d, $J = 7.1$ Hz, 1H), 3.07 (s, 3H), 2.98 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.5, 152.6, 150.1, 148.0, 145.8, 143.9, 141.6, 129.4, 126.8, 120.9, 118.8, 118.3, 113.5, 108.6, 57.2, 37.2, 36.4; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{19}\text{H}_{20}\text{N}_3\text{O}_2$ 322.1550; Found 322.1546.



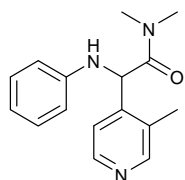
N,N-dimethyl-2-(6'-methyl-2,3'-bipyridin-4-yl)-2-(phenylamino)acetamide (3ae, 33.9 mg, 49%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 1/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 9.03 (d, $J = 2.1$ Hz, 1H), 8.65 (d, $J = 5.0$ Hz, 1H), 8.15 (dd, $J = 8.1, 2.4$ Hz, 1H), 7.77 (s, 1H), 7.35 (dd, $J = 5.0, 1.6$ Hz, 1H), 7.24 (d, $J = 8.1$ Hz, 1H), 7.13 (t, $J = 7.4$ Hz, 2H), 6.69 (t, $J = 7.3$ Hz, 1H), 6.62 (d, $J = 7.6$ Hz, 2H), 5.46 (d, $J = 6.4$ Hz, 1H), 5.35 (d, $J = 5.7$ Hz, 1H), 3.12 (s, 3H), 2.99 (s, 3H), 2.60 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.5, 159.1, 155.8, 150.4, 148.5, 147.6, 145.7, 134.9, 131.8, 129.4, 123.2, 121.6, 119.2, 118.4, 113.5, 57.2, 37.3, 36.4, 24.3; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{21}\text{H}_{23}\text{N}_4\text{O}$ 347.1866; Found 347.1854.



2-(2,6-dimethylpyridin-4-yl)-N,N-dimethyl-2-(phenylamino)acetamide (3af), 40.2 mg, 71%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 7.12 (t, $J = 7.4$ Hz, 2H), 7.04 (s, 2H), 6.68 (t, $J = 7.3$ Hz, 1H), 6.59 (d, $J = 7.7$ Hz, 2H), 5.38 (d, $J = 7.3$ Hz, 1H), 5.18 (d, $J = 7.2$ Hz, 1H), 3.06 (s, 3H), 2.97 (s, 3H), 2.49 (s, 6H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.8, 160.4, 158.5, 147.8, 145.9, 129.3, 119.3, 118.1, 113.5, 57.2, 37.2, 36.4, 24.6; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{17}\text{H}_{22}\text{N}_3\text{O}$ 284.1757; Found 284.1753.

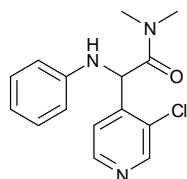


2-(2-(hex-1-yn-1-yl)pyridin-4-yl)-N,N-dimethyl-2-(phenylamino)acetamide (3ag), 59.6 mg, 89%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.48 (d, $J = 5.1$ Hz, 2H), 7.46 (s, 1H), 7.27 (d, $J = 4.9$ Hz, 1H), 7.12 (t, $J = 7.9$ Hz, 2H), 6.69 (t, $J = 7.3$ Hz, 1H), 6.57 (d, $J = 7.9$ Hz, 2H), 5.41 (d, $J = 6.9$ Hz, 1H), 5.23 (d, $J = 6.6$ Hz, 1H), 3.06 (s, 3H), 2.97 (s, 3H), 2.42 (t, $J = 7.0$ Hz, 3H), 1.63-1.56 (m, 2H), 1.51-1.42 (m, 2H), 0.93 (t, $J = 7.3$ Hz, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.3, 150.3, 147.6, 145.6, 144.5, 129.4, 125.6, 121.3, 118.3, 113.5, 91.9, 80.2, 56.9, 37.2, 36.4, 30.4, 22.1, 19.1, 13.7; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{21}\text{H}_{26}\text{N}_3\text{O}$ 336.2070; Found 336.2069.

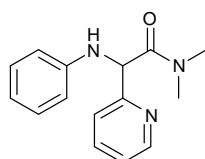


N,N-dimethyl-2-(3-methylpyridin-4-yl)-2-(phenylamino)acetamide (3ah), 39.8 mg, 74%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.43-8.41 (m, 2H), 7.22 (d, $J = 5.1$ Hz, 1H), 7.16 (t, $J = 7.4$ Hz, 2H), 6.75 (d, $J = 7.4$ Hz, 1H), 6.63 (d, $J = 7.6$ Hz, 2H), 5.38 (s, 1H), 4.77 (s, 1H), 3.00 (s, 3H), 2.93 (s, 3H), 2.43 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 169.9, 151.7, 148.3, 146.6, 144.6, 131.7, 129.4, 122.0, 118.9, 113.9,

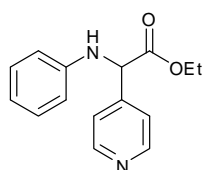
55.7, 36.8, 36.3, 16.1; HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{16}H_{20}N_3O$ 270.1601; Found 270.1597.



2-(3-chloropyridin-4-yl)-N,N-dimethyl-2-(phenylamino)acetamide (3ai), 32.4 mg, 56%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid; 1H NMR (400 MHz, $CDCl_3$) δ 8.71 (d, $J = 5.0$ Hz, 0.5H), 8.58 (s, 0.5H), 8.43 (d, $J = 5.1$ Hz, 0.5H), 7.75 (s, 0.5H), 7.45 (d, $J = 5.0$ Hz, 0.5H), 7.40 (d, $J = 5.0$ Hz, 0.5H), 7.16-7.10 (m, 2H), 6.75-6.69 (m, 1H), 6.65 (d, $J = 7.6$ Hz, 1H), 6.60 (d, $J = 7.7$ Hz, 1H), 5.671(d, $J = 8.4$ Hz, 0.5H), 5.64-5.58 (m, 1H), 5.21 (d, $J = 8.1$ Hz, 0.5H), 3.27 (s, 1.5H), 3.11 (s, 1.5H), 2.99 (s, 1.5H), 2.98 (s, 1.5H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 169.2, 169.1, 161.6, 149.6, 149.5, 148.6, 145.4, 144.9, 130.8, 129.5, 129.4, 124.2, 123.6, 123.4, 121.8, 118.8, 118.2, 116.3, 113.8, 113.2, 59.7, 53.9, 37.6, 37.1, 36.5, 36.4; HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{15}H_{17}ClN_3O$ 290.1055; Found 290.1050.

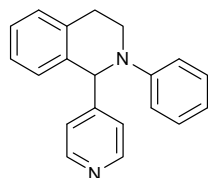


N,N-dimethyl-2-(phenylamino)-2-(pyridin-2-yl)acetamide (3aj), 21.4 mg, 42%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid; 1H NMR (400 MHz, $CDCl_3$) δ 8.54 (d, $J = 4.9$ Hz, 1H), 7.65-7.60 (m, 1H), 7.48 (d, $J = 7.9$ Hz, 1H), 7.19-7.15 (m, 1H), 7.12-7.08 (m, 2H), 6.67-6.63 (m, 3H), 5.69 (s, 1H), 5.51 (s, 1H), 3.24 (s, 3H), 2.96 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 170.2, 159.2, 148.5, 146.0, 137.4, 129.2, 122.8, 121.5, 117.5, 113.3, 60.4, 37.5, 36.3; HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{15}H_{18}N_3O$ 256.1444; Found 256.1440.

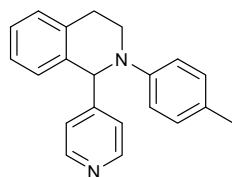


ethyl 2-(phenylamino)-2-(pyridin-4-yl)acetate (3sa), 45.6 mg, 89%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; 1H

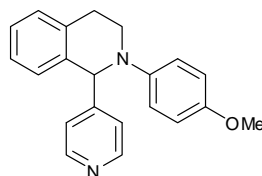
NMR (400 MHz, CDCl₃) δ 8.57 (d, J = 6.0 Hz, 2H), 7.46 (d, J = 6.0 Hz, 2H), 7.12 (t, J = 8.0 Hz, 2H), 6.72 (t, J = 7.3 Hz, 1H), 6.50 (d, J = 8.1 Hz, 2H), 5.05 (s, 2H), 4.27-4.14 (s, 2H), 1.23 (t, J = 7.2 Hz, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 170.3, 150.1, 147.1, 145.3, 129.4, 122.3, 118.6, 113.4, 62.5, 60.0, 14.0; HRMS (ESI) m/z : [M+H]⁺ Calcd for C₁₅H₁₇N₂O₂ 257.1285; Found 257.1284.



2-phenyl-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5aa), 53.2 mg, 93%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave colorless liquid; ¹H NMR (400 MHz, CDCl₃) δ 8.38 (d, J = 6.1 Hz, 2H), 7.23-7.09 (m, 8H), 6.73-6.69 (m, 3H), 5.67 (s, 1H), 3.67-3.62 (m, 1H), 3.43-3.67 (m, 1H), 2.91-2.84 (m, 1H), 2.81-2.73 (m, 1H); ¹³C NMR (101 MHz, CDCl₃) δ 152.3, 149.8, 149.1, 136.4, 135.8, 129.4, 128.2, 127.7, 127.6, 126.6, 122.3, 118.0, 113.7, 62.2, 44.2, 28.2; HRMS (ESI) m/z : [M+H]⁺ Calcd for C₂₀H₁₉N₂ 287.1543; Found 287.1534.

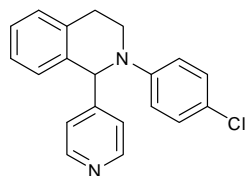


1-(pyridin-4-yl)-2-(p-tolyl)-1,2,3,4-tetrahydroisoquinoline (5ba), 54.6 mg, 91%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave white solid; ¹H NMR (400 MHz, CDCl₃) δ 8.49 (d, J = 5.4 Hz, 2H), 7.29-7.27 (m, 3H), 7.23-7.21 (m, 3H), 7.08 (d, J = 8.3 Hz, 2H), 6.76 (d, J = 8.6 Hz, 2H), 5.74 (s, 1H), 3.73-3.67 (m, 1H), 3.51-3.46 (m, 1H), 3.03-2.96 (m, 1H), 2.93-2.85 (m, 1H), 2.29 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 152.5, 149.7, 147.1, 136.3, 135.8, 129.8, 128.4, 127.8, 127.6, 127.5, 126.4, 122.6, 114.4, 62.5, 44.3, 28.2, 20.4; HRMS (ESI) m/z : [M+H]⁺ Calcd for C₂₁H₂₁N₂ 301.1699; Found 301.1695.

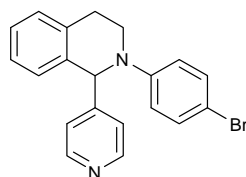


2-(4-methoxyphenyl)-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5ca), 50.6 mg, 80%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 4/1) gave white solid; ¹H NMR (400 MHz, CDCl₃) δ 8.44 (d, J = 4.6 Hz, 2H), 7.24-7.11

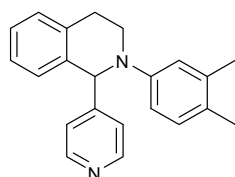
(m, 6H), 6.80 (d, $J = 1.9$ Hz, 4H), 5.60 (s, 1H), 3.75 (s, 3H), 3.58-3.52 (m, 1H), 3.43-3.37 (m, 1H), 3.01-2.86 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 153.2, 152.3, 149.6, 143.9, 136.1, 135.6, 128.6, 127.9, 127.4, 126.3, 123.1, 117.6, 114.6, 63.7, 55.6, 44.9, 28.3; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}$ 317.1648; Found 317.1641.



2-(4-chlorophenyl)-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5da), 57.6 mg, 90%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.39 (d, $J = 5.8$ Hz, 2H), 7.21-7.16 (m, 3H), 7.18-7.08 (m, 5H), 6.61 (d, $J = 9.0$ Hz, 2H), 5.60 (s, 1H), 3.64-3.59 (m, 1H), 3.39-3.33 (m, 1H), 2.90-2.73 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.8, 149.8, 147.7, 136.1, 135.6, 129.1, 128.3, 127.9, 127.6, 126.7, 122.8, 122.2, 114.8, 62.3, 44.4, 28.1; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{20}\text{H}_{18}\text{ClN}_2$ 321.1153; Found 321.1144.

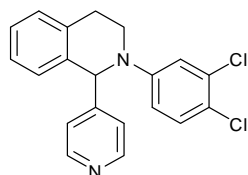


2-(4-bromophenyl)-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5ea), 68.4 mg, 94%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.41 (d, $J = 5.8$ Hz, 2H), 7.25-7.19 (m, 5H), 7.14-7.09 (m, 3H), 6.58 (d, $J = 9.0$ Hz, 2H), 5.62 (s, 1H), 3.66-3.61 (m, 1H), 3.41-3.34 (m, 1H), 2.92-2.86 (m, 2H), 2.83-2.75 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.8, 149.8, 148.0, 136.1, 135.6, 132.0, 128.2, 127.9, 127.6, 126.7, 122.1, 115.1, 109.9, 62.1, 44.4, 28.1; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{20}\text{H}_{18}\text{BrN}_2$ 365.0648; Found 365.0643.

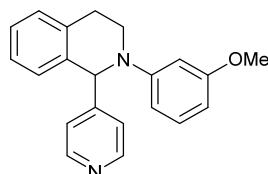


2-(3,4-dimethylphenyl)-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5fa), 54.7 mg, 87%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1)

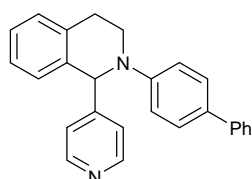
gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.49 (d, $J = 3.2$ Hz, 2H), 7.29-7.27 (m, 3H), 7.23-7.20 (m, 3H), 7.03 (d, $J = 8.2$ Hz, 1H), 6.68 (d, $J = 2.2$ Hz, 1H), 6.60 (dd, $J = 8.2, 2.5$ Hz, 1H), 5.75 (s, 1H), 3.72-3.66 (m, 1H), 3.53-3.47 (m, 1H), 3.02-2.96 (m, 1H), 2.91-2.84 (m, 1H), 2.25 (s, 3H), 2.21 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.7, 149.6, 147.5, 137.4, 136.4, 135.9, 130.4, 128.4, 127.8, 127.5, 126.4, 122.6, 115.8, 111.8, 62.4, 44.3, 28.1, 20.4, 18.7; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{22}\text{H}_{23}\text{N}_2$ 315.1856; Found 315.1849.



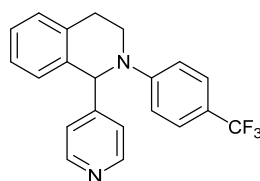
2-(3,4-dichlorophenyl)-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5ga), 51.7 mg, 73%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 8.49 (d, $J = 6.0$ Hz, 2H), 7.35-7.15 (m, 7H), 6.84 (d, $J = 2.9$ Hz, 1H), 6.59 (dd, $J = 9.0, 2.9$ Hz, 1H), 5.68 (s, 1H), 3.74-3.69 (m, 1H), 3.47-3.40 (m, 1H), 2.98-2.92 (m, 1H), 2.88-2.0 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.4, 149.9, 148.4, 135.9, 135.4, 133.0, 130.6, 128.2, 128.1, 127.5, 126.8, 121.9, 120.5, 114.5, 112.7, 61.9, 44.5, 27.9; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{20}\text{H}_{17}\text{Cl}_2\text{N}_2$ 355.0763; Found 355.0758.



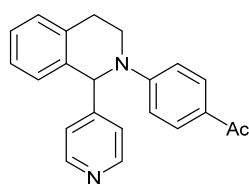
2-(3-methoxyphenyl)-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5ha), 54.4 mg, 86%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 8.46 (d, $J = 6.1$ Hz, 2H), 7.31-7.24 (m, 3H), 7.21-7.13 (m, 4H), 6.43-6.40 (m, 1H), 6.37-6.34 (m, 2H), 5.75 (s, 1H), 3.75 (s, 3H), 3.74-3.70 (m, 1H), 3.50-3.43 (m, 1H), 2.98-2.91 (m, 1H), 2.88-2.80 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 160.8, 152.3, 150.5, 149.8, 135.8, 130.1, 128.2, 127.7, 127.6, 126.6, 122.2, 106.5, 102.4, 100.3, 62.2, 55.2, 44.3, 28.2; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}$ 317.1648; Found 317.1643.



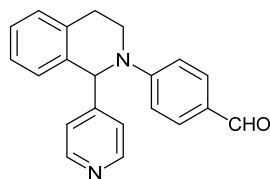
2-([1,1'-biphenyl]-4-yl)-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5ia), 58.7 mg, 81%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 4/1) gave white solid. ^1H NMR (400 MHz, CDCl_3) δ 8.48 (dd, $J = 6.1, 1.4$ Hz, 2H), 7.55-7.49 (m, 4H), 7.39 (t, $J = 7.5$ Hz, 2H), 7.34-7.31 (m, 1H), 7.29-7.18 (m, 6H), 6.86 (d, $J = 8.8$ Hz, 2H), 5.79 (s, 1H), 3.81-3.75 (m, 1H), 3.56-3.49 (m, 1H), 3.00-2.94 (m, 1H), 2.90-2.83 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.3, 149.8, 148.4, 140.9, 136.3, 135.8, 130.7, 128.8, 128.3, 127.9, 127.8, 127.6, 126.6, 126.4, 126.3, 122.3, 113.7, 62.2, 44.3, 28.2; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{26}\text{H}_{23}\text{N}_2$ 363.1856; Found 363.1849.



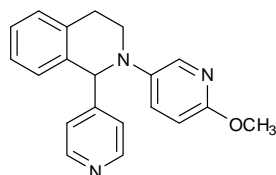
1-(pyridin-4-yl)-2-(4-(trifluoromethyl)phenyl)-1,2,3,4-tetrahydroisoquinoline (5ja), 46.1 mg, 65%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid. ^1H NMR (400 MHz, CDCl_3) δ 8.49 (d, $J = 6.0$ Hz, 2H), 7.47 (d, $J = 8.7$ Hz, 2H), 7.39-7.37 (m, 2H), 7.32-7.26 (m, 2H), 7.22-7.18 (m, 3H), 6.86 (d, $J = 8.8$ Hz, 2H), 5.81 (s, 1H), 3.86-3.81 (m, 1H), 3.56-3.49 (m, 1H), 3.00-2.94 (m, 1H), 2.89-2.83 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.3, 151.1, 149.9, 136.1, 135.5, 128.1, 127.5, 126.8, 126.6 (q, $J_{\text{C-F}} = 3.7$ Hz), 124.9 (q, $J_{\text{C-F}} = 271.4$ Hz), 121.8, 119.1 (q, $J_{\text{C-F}} = 32.8$ Hz), 115.5, 112.0, 61.7, 44.5, 28.1; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{21}\text{H}_{18}\text{F}_3\text{N}_2$ 355.1417; Found 355.1410.



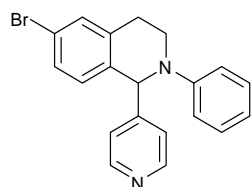
1-(4-(1-(pyridin-4-yl)-3,4-dihydroisoquinolin-2(1H)-yl)phenyl)ethan-1-one (5ka), 38.1 mg, 58%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid. ^1H NMR (400 MHz, CDCl_3) δ 8.49 (d, $J = 6.0$ Hz, 2H), 7.88 (d, $J = 9.0$ Hz, 2H), 7.41-7.38 (m, 1H), 7.33-7.29 (m, 2H), 7.24-7.16 (m, 3H), 6.76 (d, $J = 9.0$ Hz, 2H), 5.88 (s, 1H), 3.90-3.85 (m, 1H), 3.60-3.53 (m, 1H), 2.99-2.94 (m, 1H), 2.90-2.82 (m, 1H), 2.51 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 196.4, 152.3, 150.9, 150.0, 136.1, 135.5, 130.7, 128.2, 128.1, 127.5, 126.9, 126.8, 121.7, 111.5, 61.5, 44.5, 28.1, 26.1; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{22}\text{H}_{21}\text{N}_2$ 329.1648; Found 329.1646.



4-(1-(pyridin-4-yl)-3,4-dihydroisoquinolin-2(1H)-yl)benzaldehyde (51a), 45.8 mg, 73%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid. ^1H NMR (400 MHz, CDCl_3) δ 9.76 (s, 1H), 8.49 (d, $J = 6.1$ Hz, 2H), 7.76 (t, $J = 8.9$ Hz, 2H), 7.42-7.39 (m, 1H), 7.34-7.28 (m, 2H), 7.23-7.17 (m, 3H), 6.82 (d, $J = 8.9$ Hz, 2H), 5.90 (s, 1H), 3.93-3.88 (m, 1H), 3.61-3.54 (m, 1H), 3.00-2.94 (m, 1H), 2.90-2.82 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 190.4, 153.3, 150.8, 149.9, 135.9, 135.4, 132.2, 128.4, 128.1, 127.5, 127.0, 126.5, 121.7, 111.9, 61.5, 44.8, 28.0; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{21}\text{H}_{19}\text{N}_2\text{O}$ 315.1492; Found 315.1485.

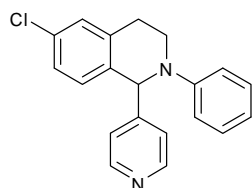


2-(6-methoxypyridin-3-yl)-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5na), 56.4 mg, 89%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.45 (d, $J = 6.1$ Hz, 2H), 7.71 (d, $J = 2.9$ Hz, 1H), 7.23-7.17 (m, 4H), 7.11 (d, $J = 6.2$ Hz, 3H), 6.63 (d, $J = 8.9$ Hz, 1H), 5.63 (s, 1H), 3.84 (s, 3H), 3.56-3.50 (m, 1H), 3.42-3.36 (m, 1H), 3.00-2.87 (m, 2H); ^{13}C NMR (101 MHz, CDCl_3) δ 158.4, 151.8, 149.8, 140.7, 135.5, 135.1, 134.8, 129.0, 128.7, 127.9, 127.5, 126.5, 123.0, 110.8, 63.5, 53.4, 45.2, 28.0; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{20}\text{H}_{20}\text{N}_3\text{O}$ 318.1601; Found 318.1593.

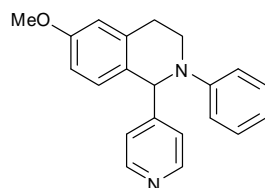


6-bromo-2-phenyl-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (50a), 69.9 mg, 96%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.49 (d, $J = 6.1$ Hz, 2H), 7.41-7.37 (m, 1H), 7.28-7.24 (m, 2H), 7.17-7.15 (m, 3H), 6.85-6.80 (m, 3H), 5.73 (s, 1H), 3.71-3.65 (m, 1H), 3.52-3.46 (m, 1H), 2.98-2.92 (m, 1H), 2.87-2.80 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.7, 149.8, 148.9, 138.1, 135.2, 131.3, 129.6, 129.4,

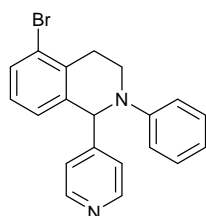
129.3, 122.4, 121.4, 118.6, 114.2, 61.8, 43.7, 27.8; HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{20}H_{18}BrN_2$ 365.0648; Found 365.0640.



6-chloro-2-phenyl-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5pa), 55.7 mg, 87%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave white solid; 1H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, $J = 6.1$ Hz, 2H), 7.27-7.19 (m, 5H), 7.15 (d, $J = 6.0$ Hz, 2H), 6.81 (t, $J = 8.4$ Hz, 3H), 5.73 (s, 1H), 3.69-3.64 (m, 1H), 3.51-3.44 (m, 1H), 2.97-2.91 (m, 1H), 2.86-2.78 (m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 151.7, 149.9, 148.9, 137.7, 134.7, 133.3, 129.4, 129.0, 128.4, 126.7, 122.3, 118.6, 114.2, 61.8, 43.7, 27.9; HRMS (ESI) m/z : $[M+H]^+$ Calcd for $C_{20}H_{18}ClN_2$ 321.1153; Found 321.1146.

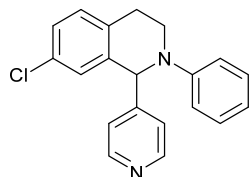


6-methoxy-2-phenyl-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5qa), 57.5 mg, 91%).⁴ Flash column chromatography on silica gel (petroleum ether/ethyl acetate 4/1) gave colorless liquid; 1H NMR (400 MHz, $CDCl_3$) δ 8.47 (d, $J = 6.1$ Hz, 2H), 7.27-7.19 (m, 5H), 6.82-6.78 (m, 4H), 6.74 (t, $J = 2.4$ Hz, 1H), 5.71 (s, 1H), 3.80 (s, 3H), 3.73-3.68 (m, 1H), 3.51-3.44 (m, 1H), 2.96-2.90 (m, 1H), 2.88-2.80 (m, 1H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 158.9, 152.8, 149.7, 149.2, 137.1, 129.3, 128.7, 122.3, 118.0, 113.7, 113.4, 112.1, 61.7, 55.3, 44.0, 28.5.

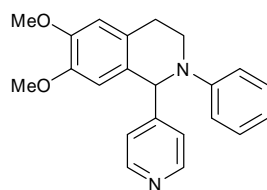


5-bromo-2-phenyl-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5ra), 54.6 mg, 75%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave white solid; 1H NMR (400 MHz, $CDCl_3$) δ 8.47 (dd, $J = 6.1, 1.6$ Hz, 2H), 7.15 (dd, $J = 7.9, 1.2$ Hz, 1H), 7.29-7.24 (m, 2H), 7.20 (d, $J = 6.9$ Hz, 1H), 7.15-7.11 (m, 3H), 6.87-6.82 (m, 3H), 5.78 (s, 1H), 3.58-3.55 (m, 2H), 3.20-3.13 (m, 1H), 2.81-2.74

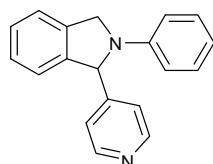
(m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.5, 149.7, 148.9, 137.9, 135.6, 131.6, 129.4, 127.6, 127.3, 124.9, 122.7, 118.9, 114.8, 62.2, 43.2, 27.9; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{20}\text{H}_{18}\text{BrN}_2$ 365.0648; Found 365.0645.



7-chloro-2-phenyl-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5sa, 49.9 mg, 78%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 5/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.50 (d, $J = 5.9$ Hz, 2H), 7.29-7.23 (m, 4H), 7.19 (d, $J = 6.2$ Hz, 2H), 7.15 (d, $J = 8.1$ Hz, 1H), 6.86-6.81 (m, 3H), 5.73 (s, 1H), 3.71-3.65 (m, 1H), 3.54-3.47 (m, 1H), 2.99-2.93 (m, 1H), 2.86-2.78 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.6, 149.8, 148.9, 137.8, 134.3, 131.9, 129.8, 129.4, 127.8, 127.6, 122.4, 118.6, 114.2, 61.9, 43.9, 27.4; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{20}\text{H}_{18}\text{ClN}_2$ 321.1153; Found 321.1151.

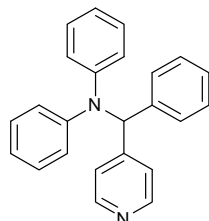


6,7-dimethoxy-2-phenyl-1-(pyridin-4-yl)-1,2,3,4-tetrahydroisoquinoline (5ua, 60.9 mg, 88%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.47 (d, $J = 6.0$ Hz, 2H), 7.24 (t, $J = 7.4$ Hz, 2H), 7.17 (d, $J = 5.9$ Hz, 2H), 6.85-6.78 (m, 3H), 6.74 (s, 1H), 6.69 (s, 1H), 5.69 (s, 1H), 3.87 (s, 3H), 3.62-3.56 (m, 1H), 3.52-3.49 (m, 1H), 2.94-2.87 (m, 1H), 2.79-2.72 (m, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.5, 149.6, 149.3, 148.3, 147.4, 129.3, 127.9, 127.7, 122.6, 118.4, 114.5, 111.3, 110.9, 61.8, 56.2, 55.9, 43.7, 27.3; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{22}\text{H}_{23}\text{N}_2\text{O}_2$ 347.1754; Found 347.1747.

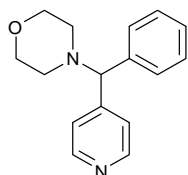


2-phenyl-1-(pyridin-4-yl)isoindoline (5va, 34.4 mg, 63%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 4/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.54-8.51 (m, 2H), 7.40 (d, $J = 7.5$ Hz, 1H), 7.34-7.29

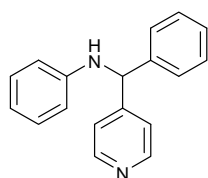
(m 3H), 7.25-7.19 (m, 3H), 7.09 (d, $J = 7.6$ Hz, 1H), 6.74 (t, $J = 7.3$ Hz, 1H), 6.60 (d, $J = 8.0$ Hz, 2H), 5.83 (d, $J = 2.9$ Hz, 1H), 5.09 (dd, $J = 13.2, 3.5$ Hz, 1H), 4.79 (d, $J = 13.2$ Hz, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 152.9, 150.2, 150.1, 145.8, 140.6, 136.3, 129.3, 127.9, 127.7, 123.0, 122.8, 121.4, 117.2, 112.8, 68.0, 55.1; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{19}\text{H}_{17}\text{N}_2$ 273.1386; Found 273.1386.



***N*-phenyl-*N*-(phenyl(pyridin-4-yl)methyl)aniline (5wa)**, 41.0 mg, 61%). Flash column chromatography on silica gel (petroleum ether/ethyl acetate 4/1) gave white solid; ^1H NMR (400 MHz, CDCl_3) δ 8.49 (d, $J = 6.1$ Hz, 2H), 7.28 (t, $J = 6.1$ Hz, 2H), 7.20-7.11 (m, 9H), 6.90 (t, $J = 7.3$ Hz, 2H), 6.84 (d, $J = 7.6$ Hz, 4H), 6.33 (s, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 150.7, 149.7, 146.8, 139.2, 129.8, 129.0, 128.3, 127.6, 124.0, 123.3, 122.2, 66.1; HRMS (ESI) m/z : $[\text{M}+\text{H}]^+$ Calcd for $\text{C}_{24}\text{H}_{21}\text{N}_2$ 337.1699; Found 337.1696.

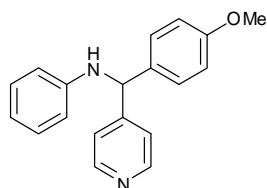


4-(phenyl(pyridin-4-yl)methyl)morpholine (5xa), 34.0 mg, 67%).⁵ Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.49 (d, $J = 6.0$ Hz, 2H), 7.38-7.36 (m, 4H), 7.31-7.27 (m, 2H), 7.23-7.19 (m, 1H), 4.19 (s, 1H), 3.72 (t, $J = 4.6$ Hz, 4H), 2.37 (t, $J = 4.2$ Hz, 4H); ^{13}C NMR (101 MHz, CDCl_3) δ 151.4, 150.1, 140.3, 128.8, 128.1, 127.8, 122.9, 75.7, 67.1, 52.4.



***N*-(phenyl(pyridin-4-yl)methyl)aniline (5ya)**, 26.1 mg, 50%).⁵ Flash column chromatography on silica gel (petroleum ether/ethyl acetate 3/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.55 (d, $J = 6.0$ Hz, 2H), 7.38-7.29 (m, 7H), 7.16-7.12 (m, 2H), 6.74 (t, $J = 7.4$ Hz, 1H), 6.52 (t, $J = 7.7$ Hz, 2H), 5.46 (s, 1H), 4.24 (s, 1H);

^{13}C NMR (101 MHz, CDCl_3) δ 151.7, 150.2, 146.8, 141.5, 129.3, 129.1, 128.2, 127.7, 122.3, 118.3, 113.5, 62.4.

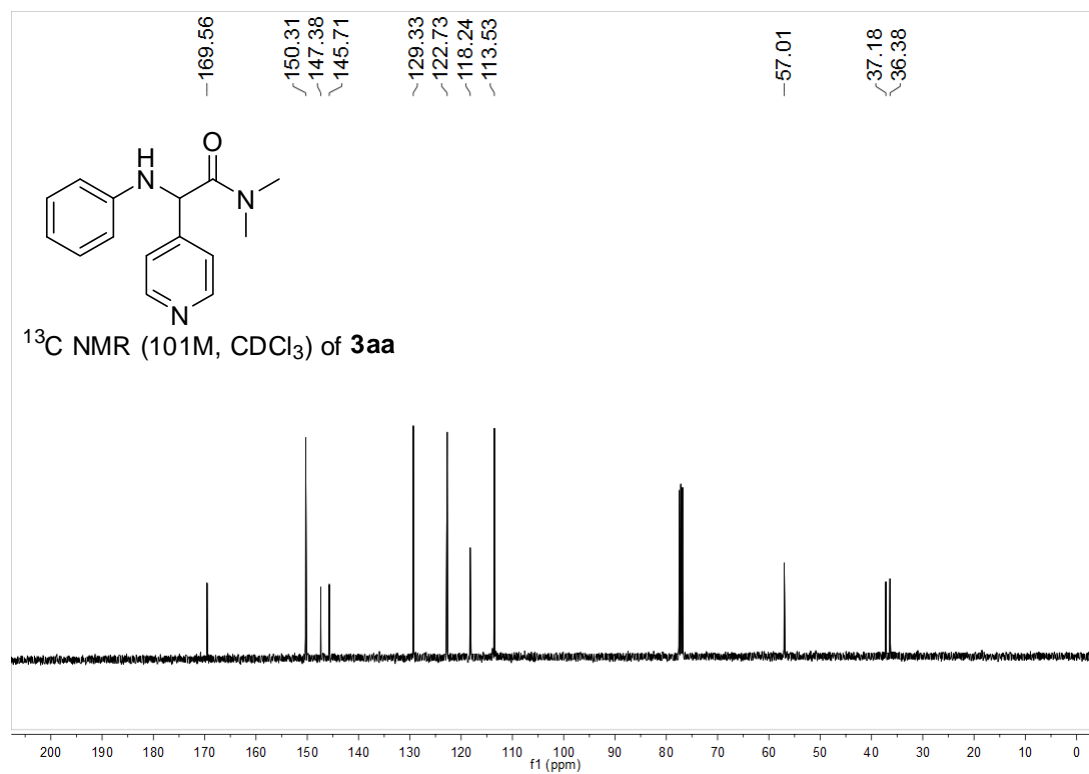
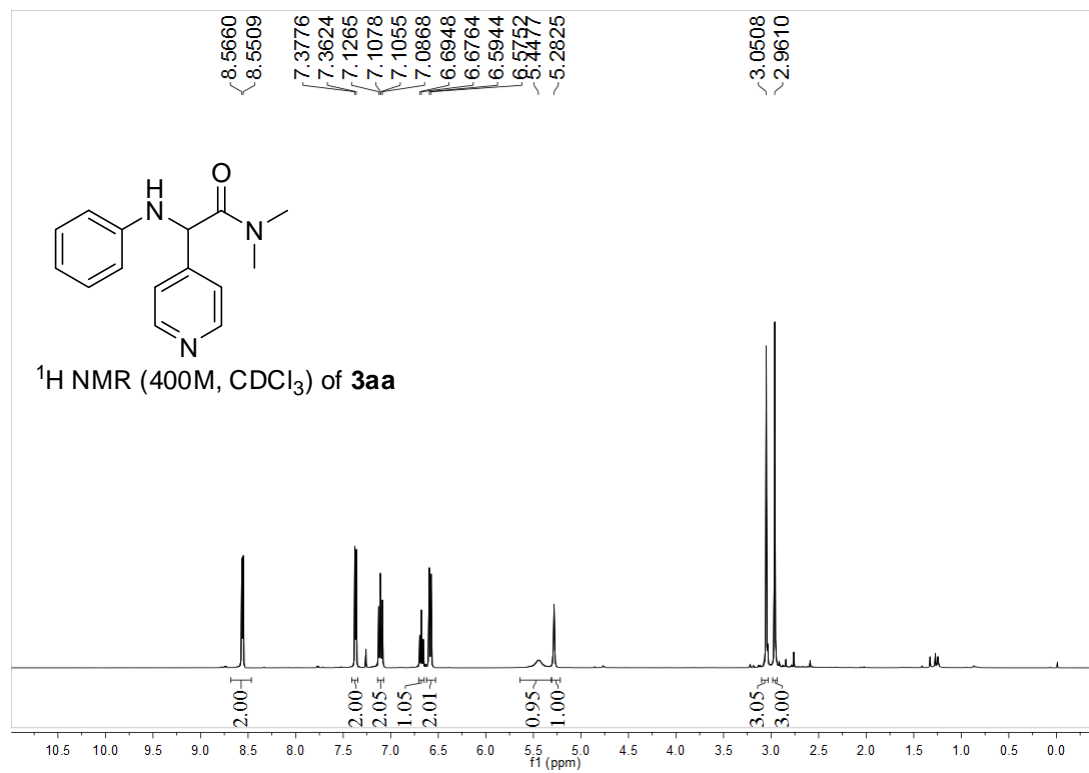


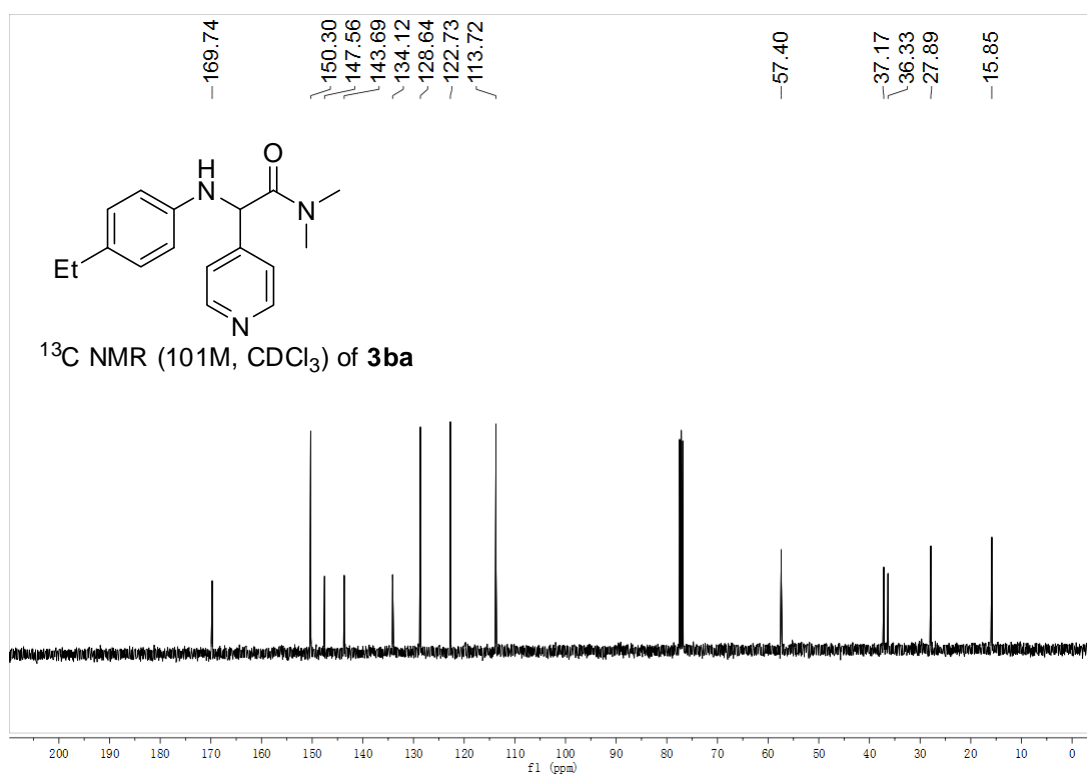
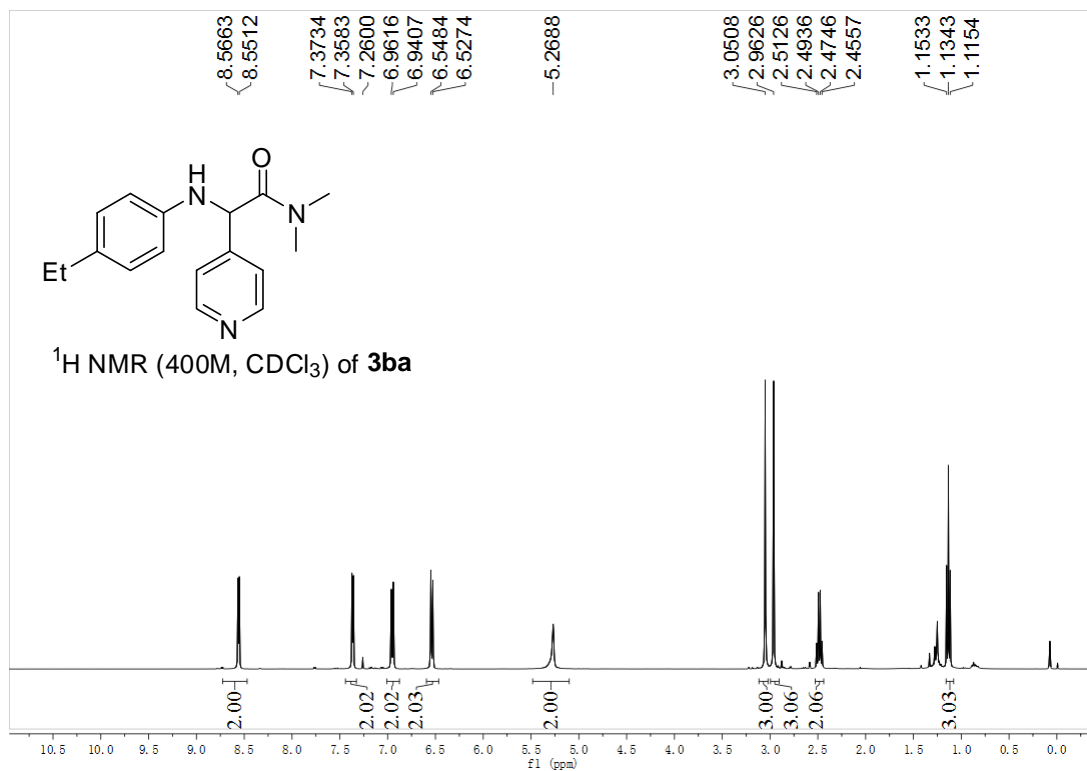
N-((4-methoxyphenyl)(pyridin-4-yl)methyl)aniline (**5za**, 35.9 mg, 62%).⁶ Flash column chromatography on silica gel (petroleum ether/ethyl acetate 2/1) gave colorless liquid; ^1H NMR (400 MHz, CDCl_3) δ 8.55 (d, $J = 6.0$ Hz, 2H), 7.32 (d, $J = 6.0$ Hz, 2H), 7.20 (d, $J = 8.6$ Hz, 2H), 7.14 (t, $J = 7.6$ Hz, 2H), 6.87 (d, $J = 8.6$ Hz, 2H), 6.73 (t, $J = 7.4$ Hz, 1H), 6.51 (d, $J = 7.8$ Hz, 2H), 5.41 (s, 1H), 4.21 (s, 1H), 3.79 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 159.3, 152.0, 150.2, 146.8, 133.8, 129.2, 128.9, 122.3, 118.2, 114.4, 113.5, 61.7, 55.3.

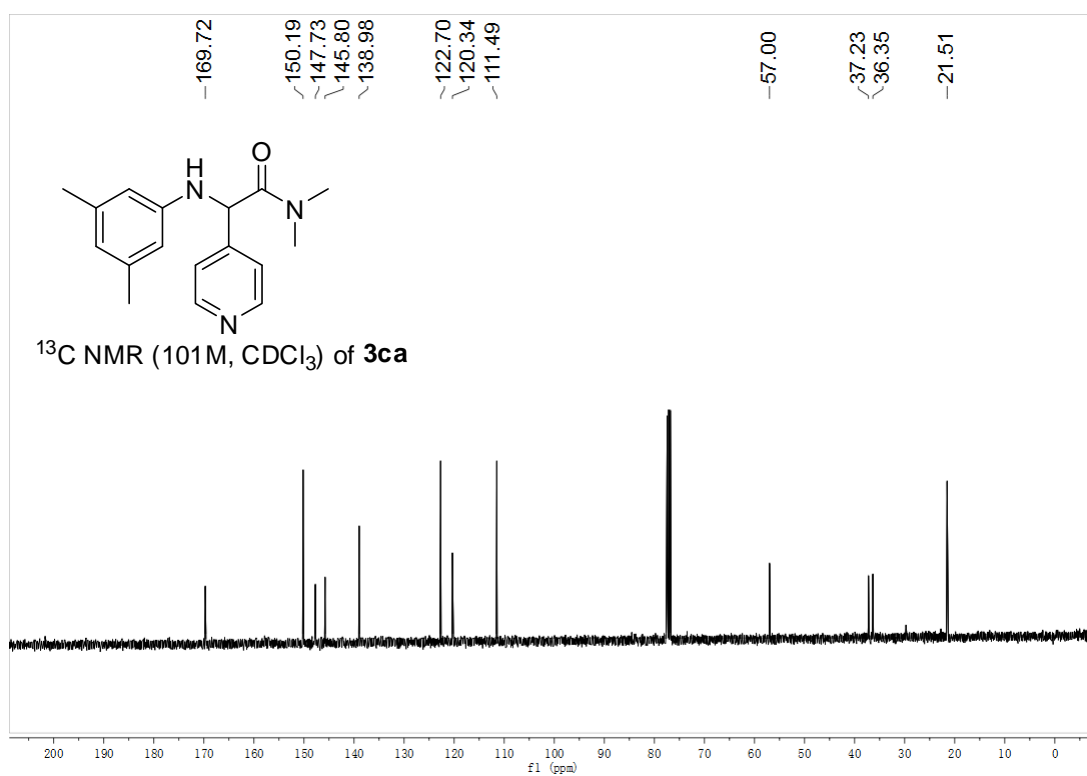
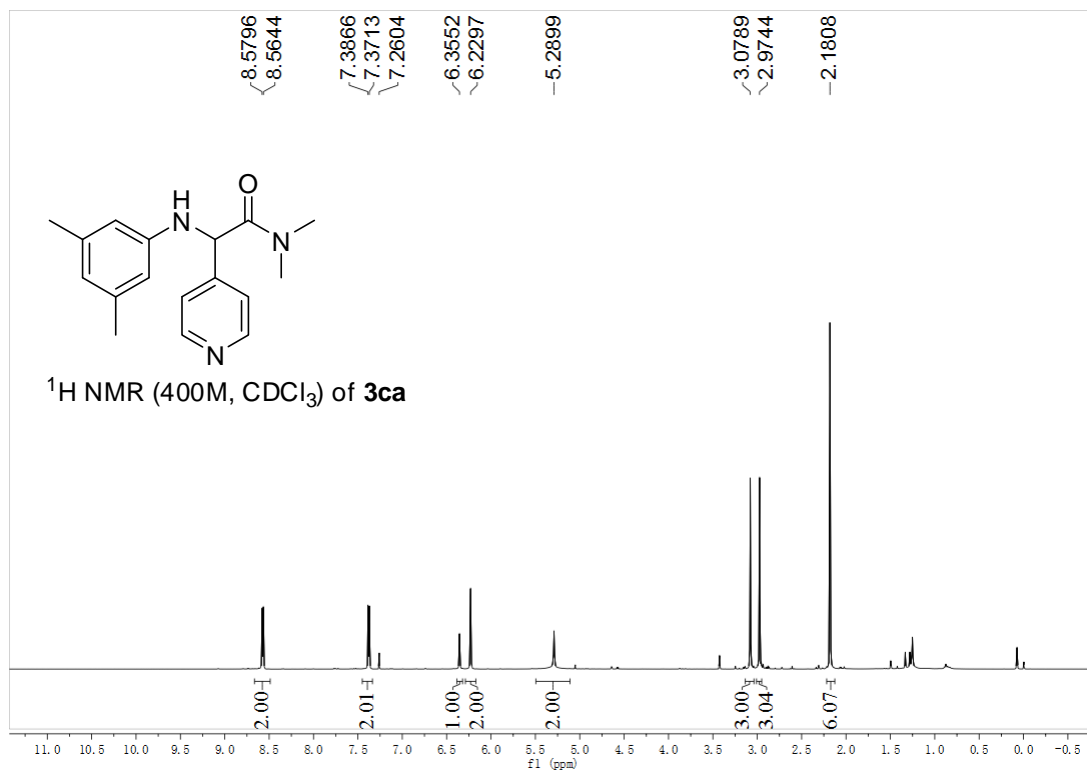
5. References

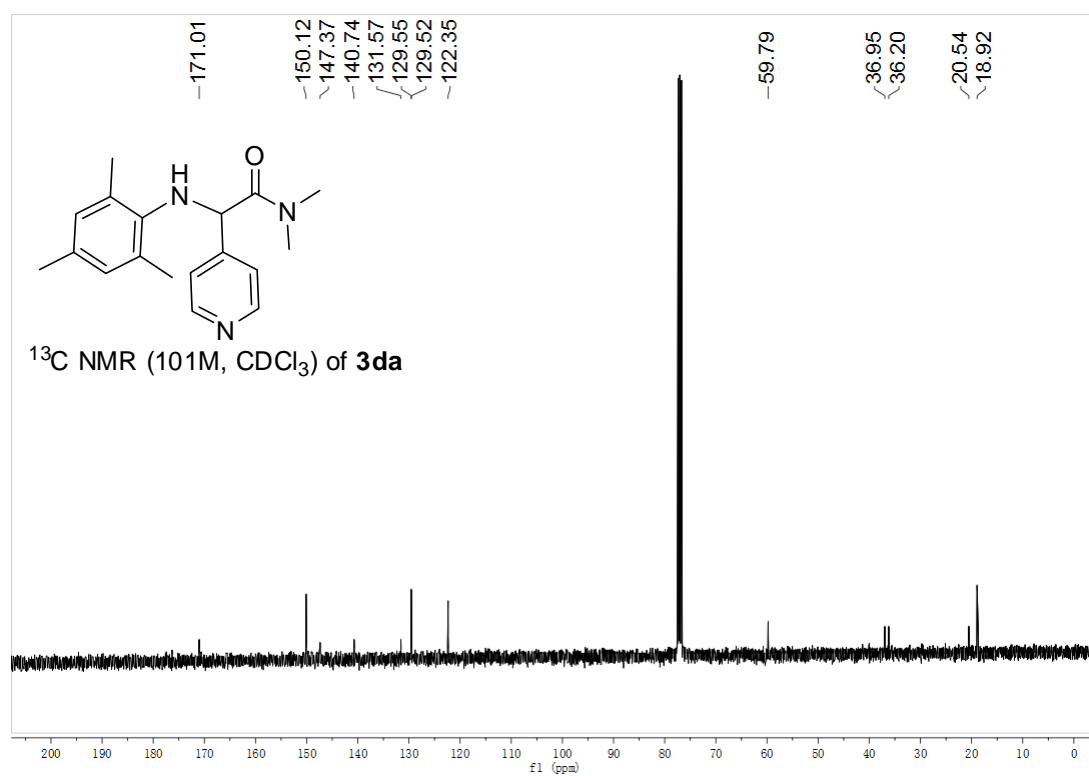
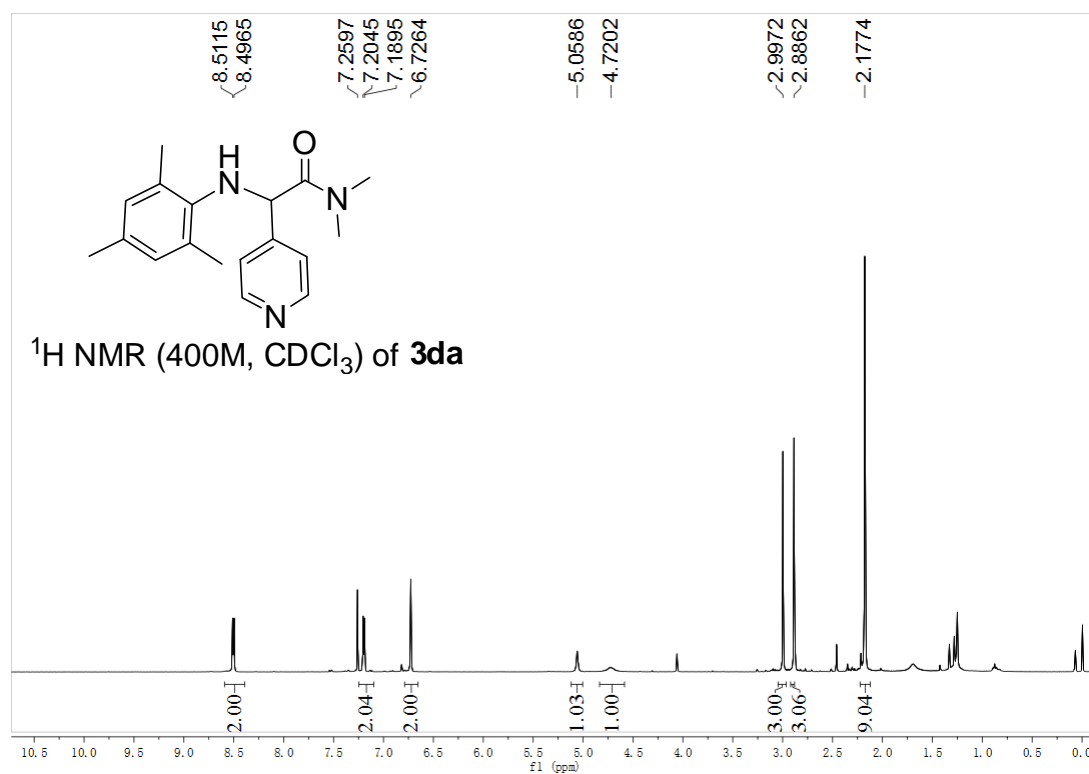
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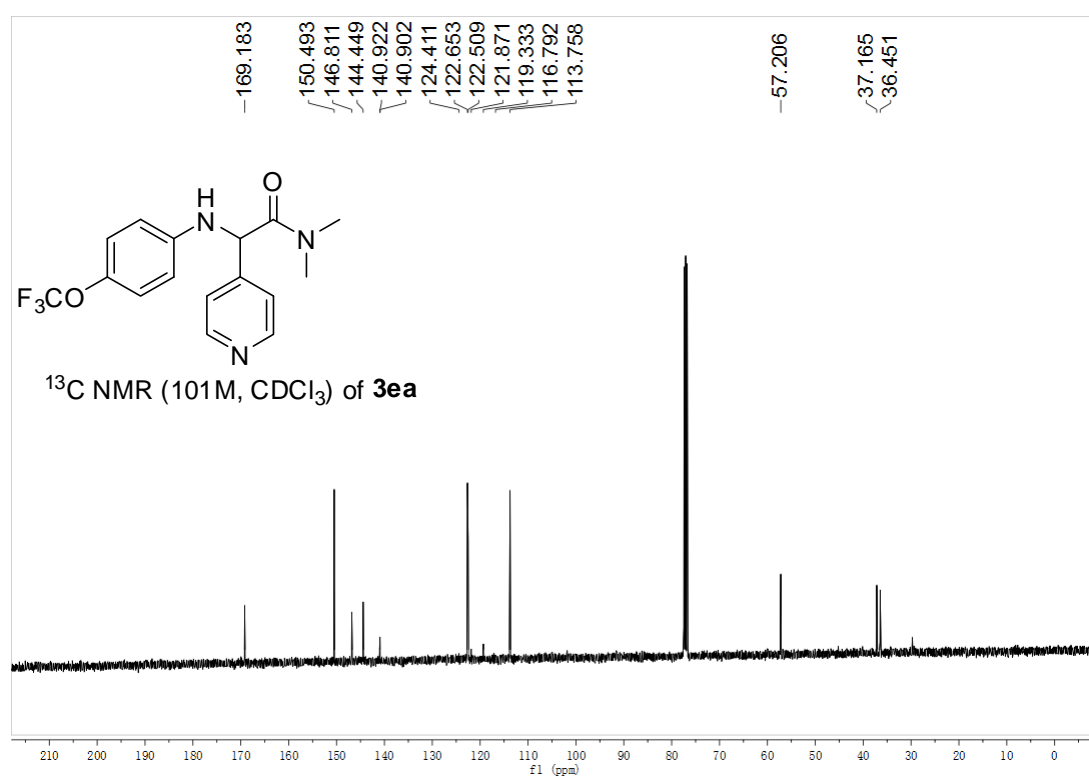
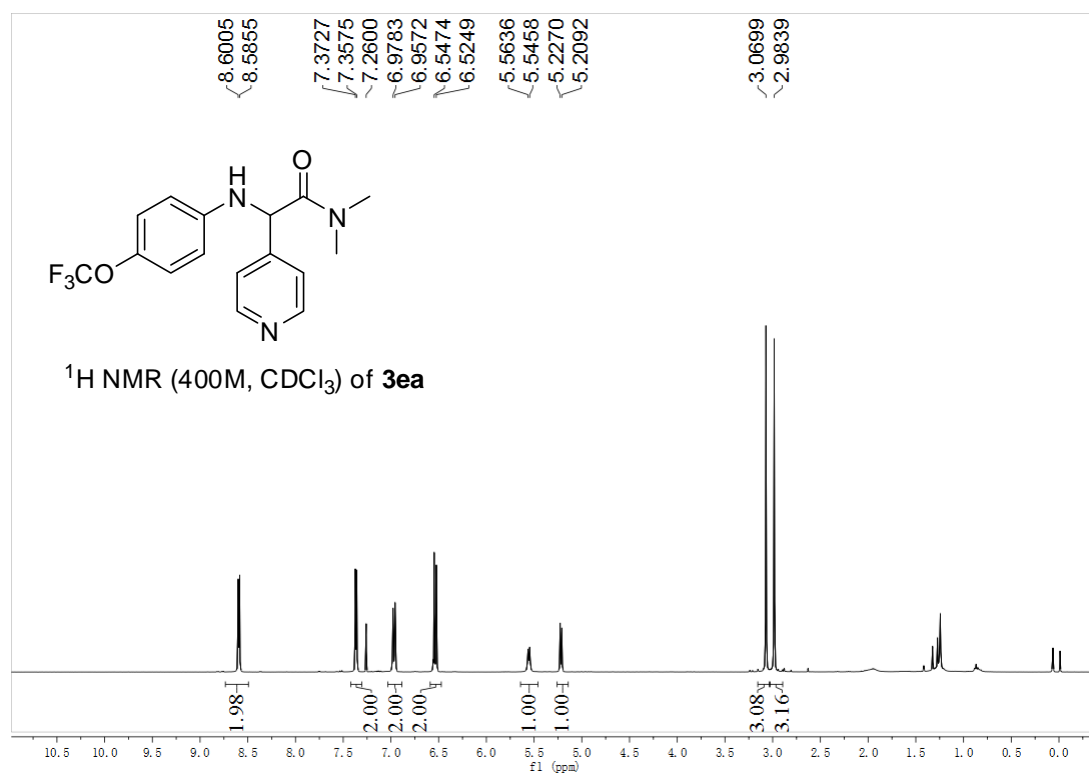
6. Copies of the ^1H NMR and ^{13}C NMR Spectra

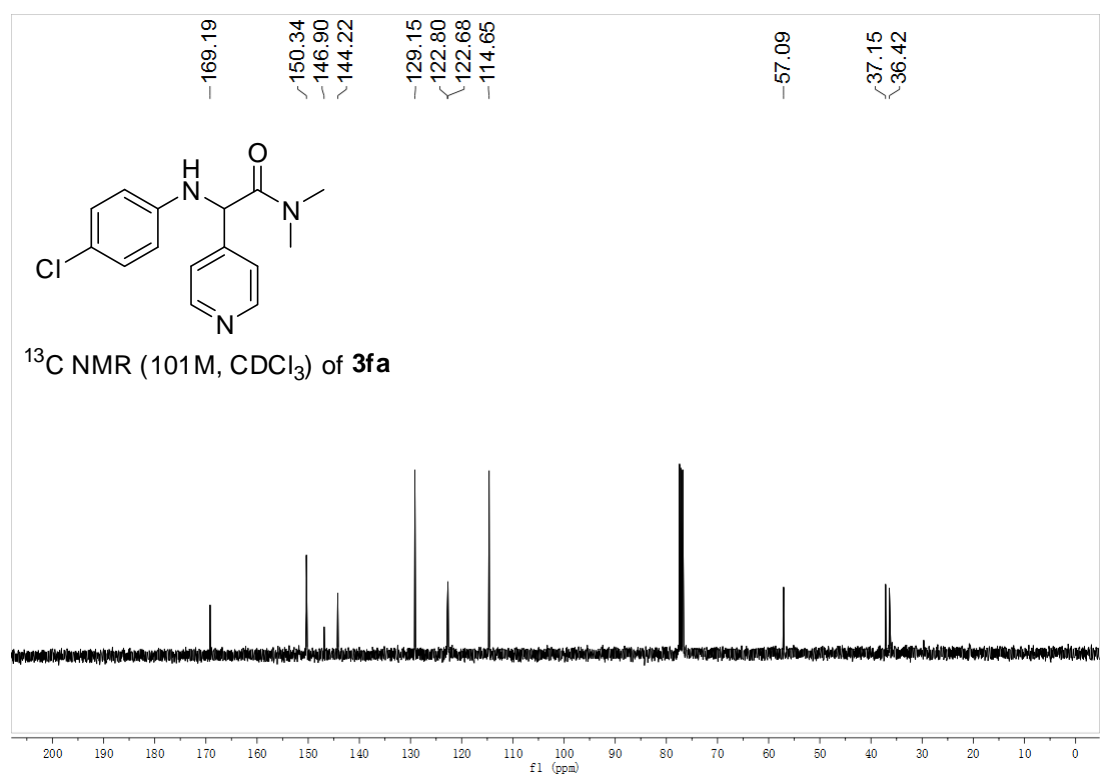
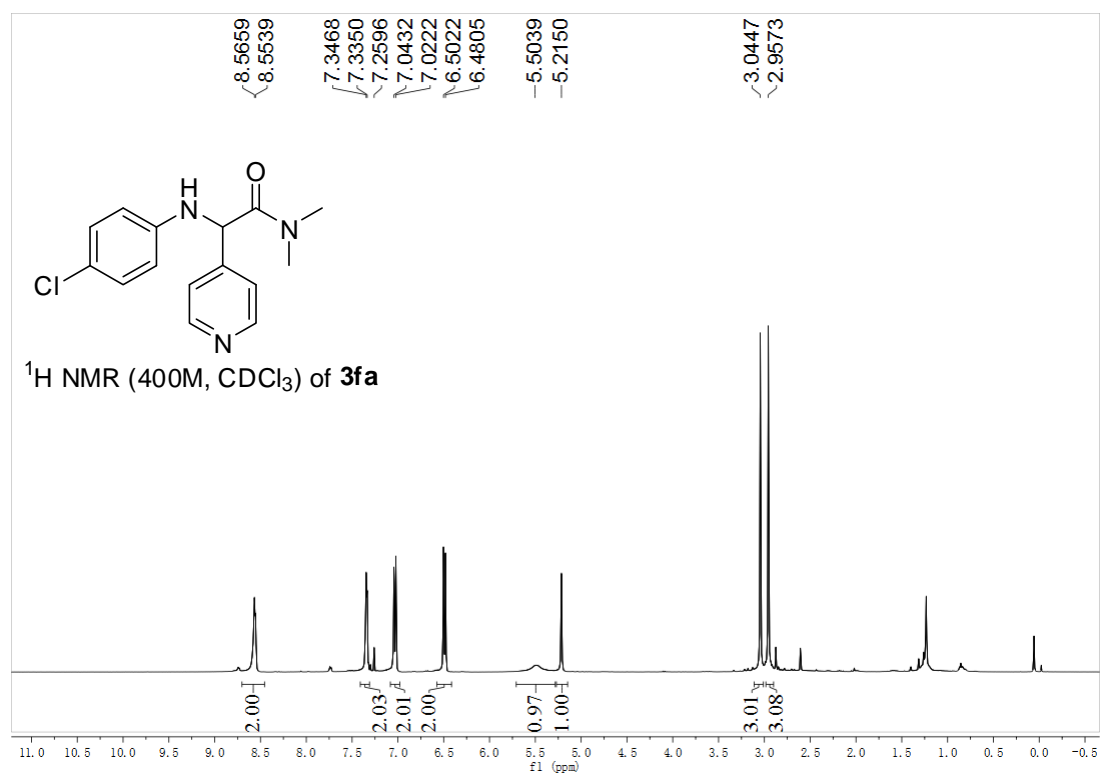


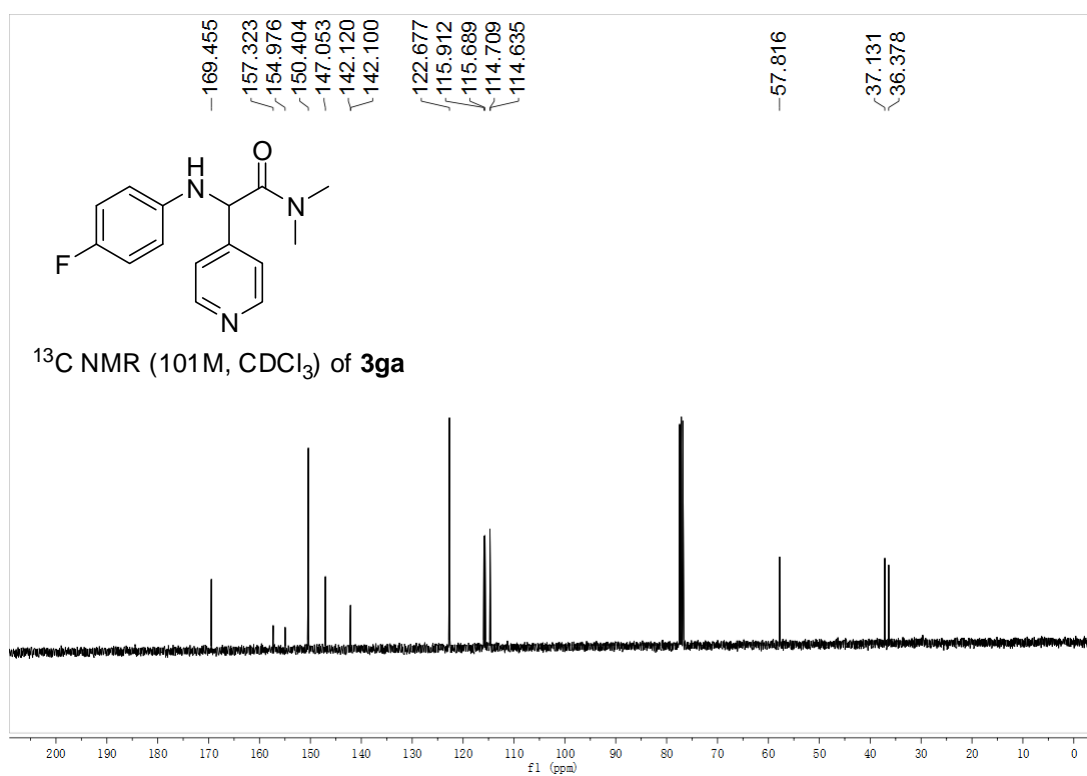
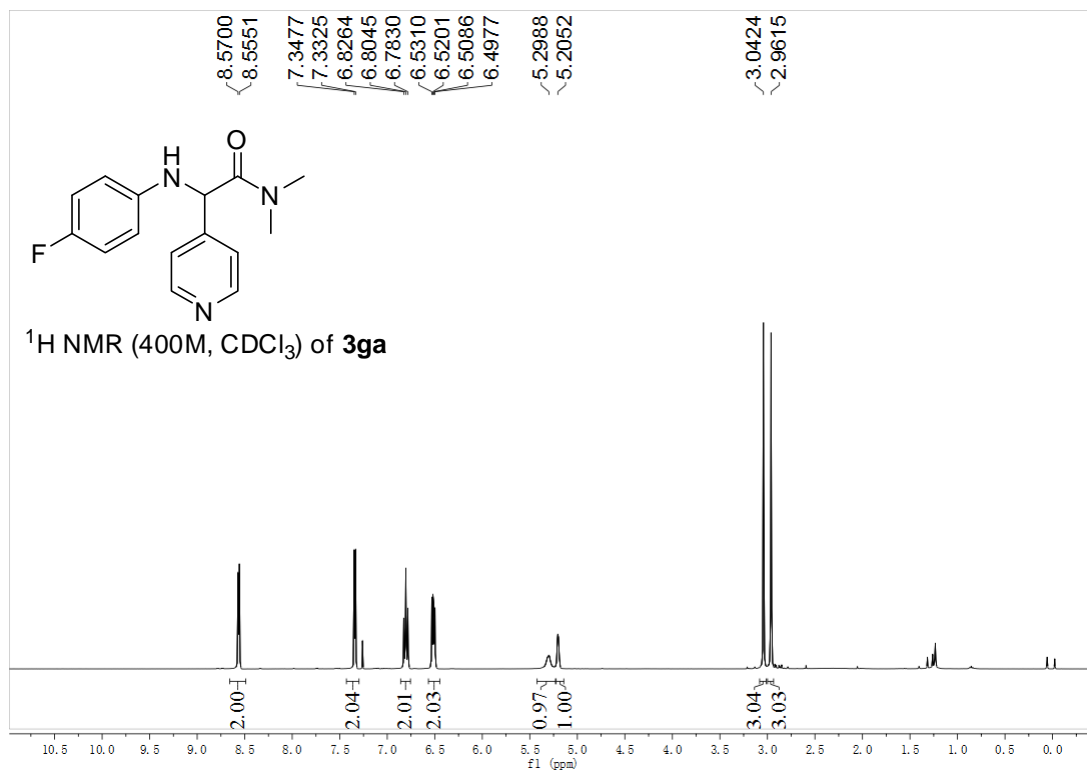


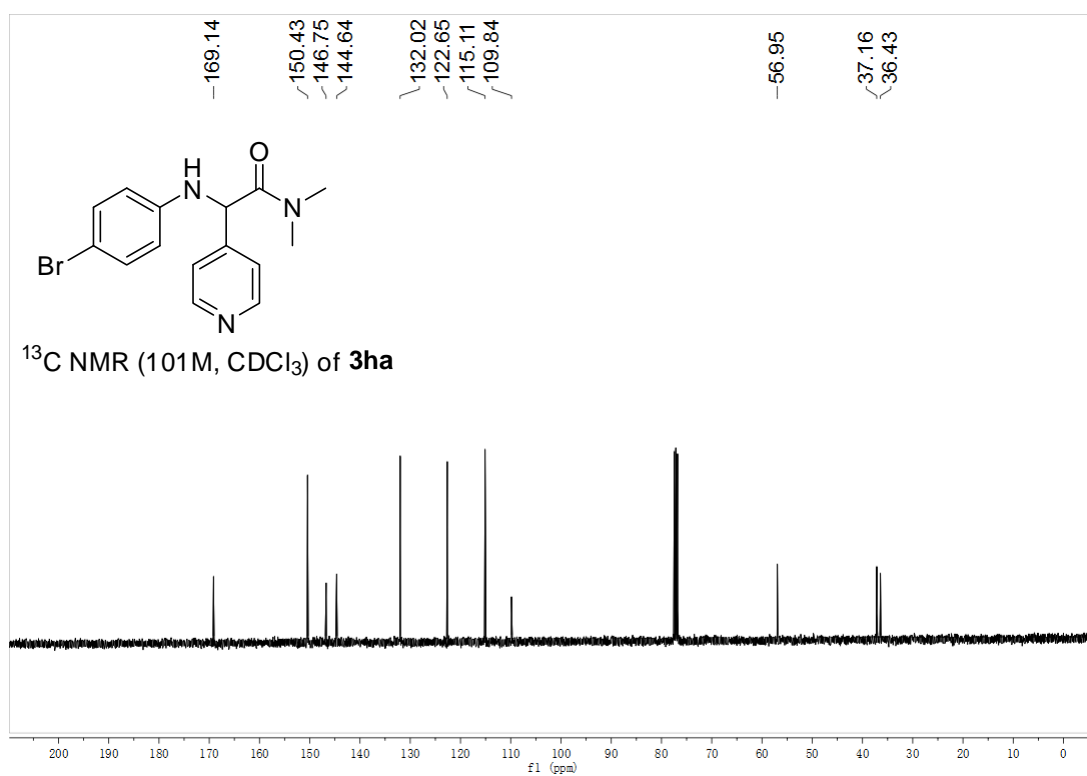
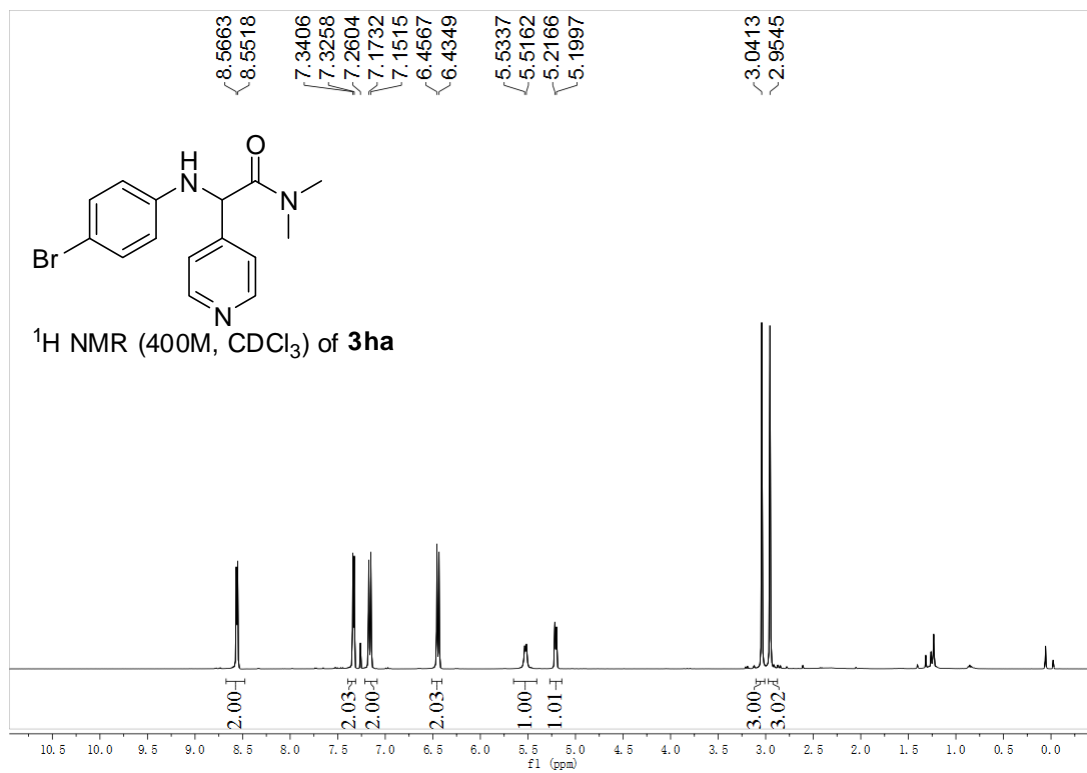


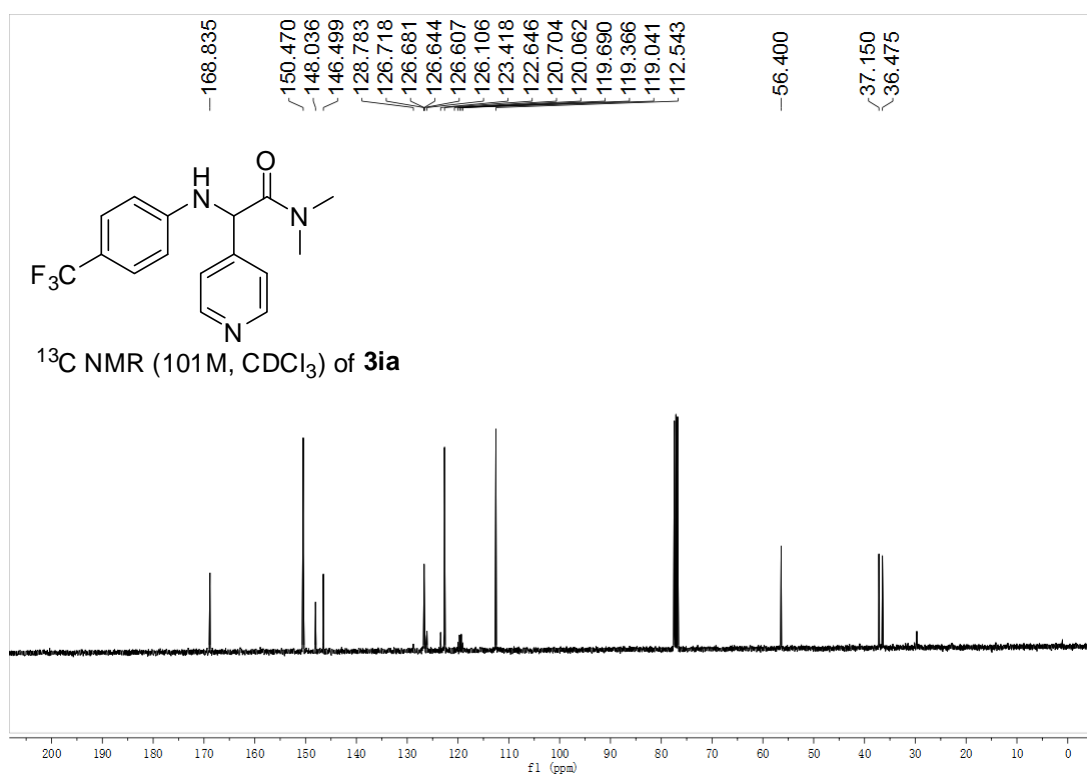
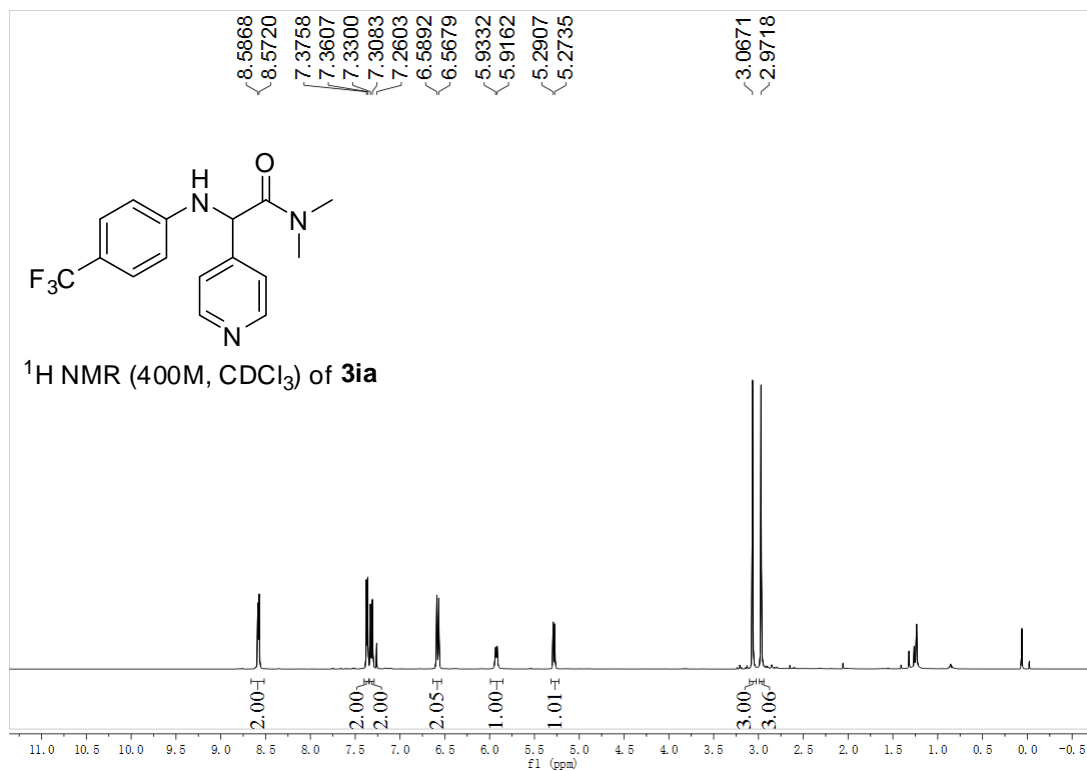


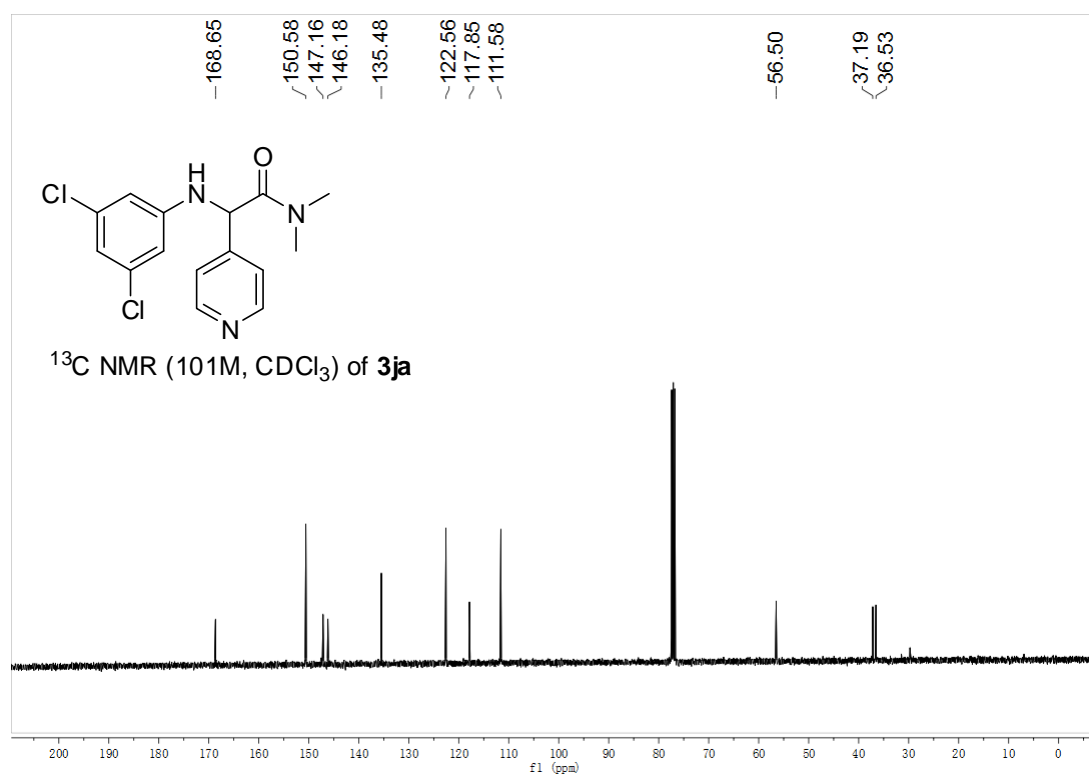
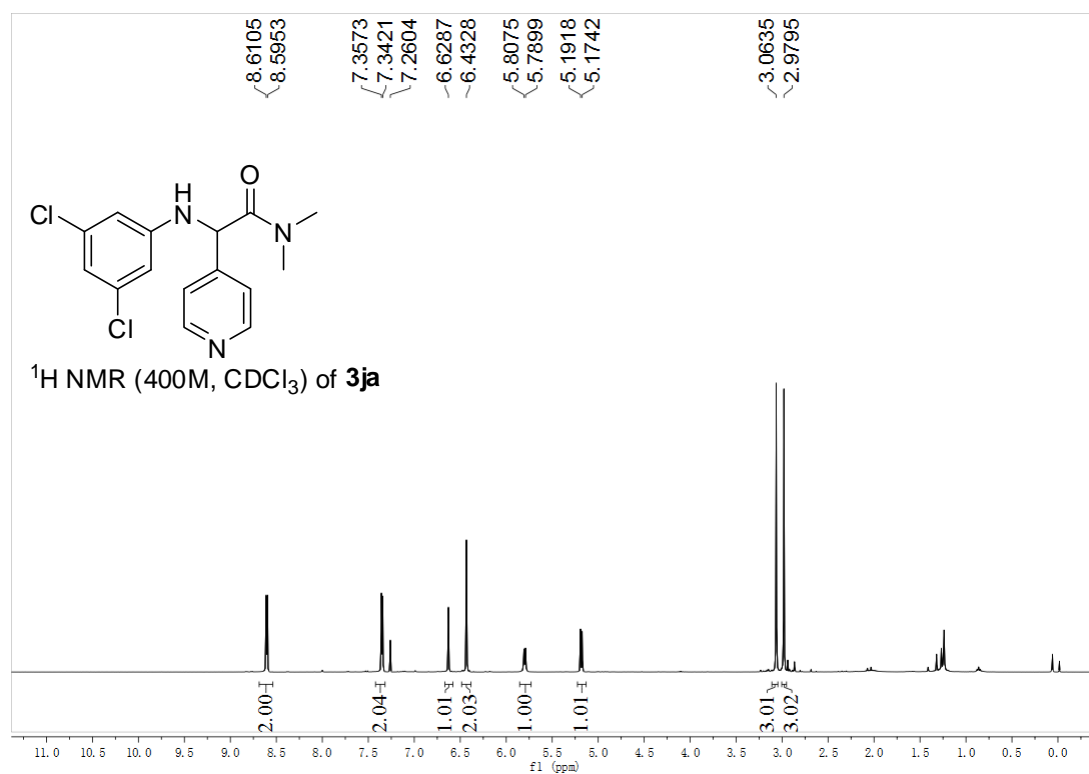


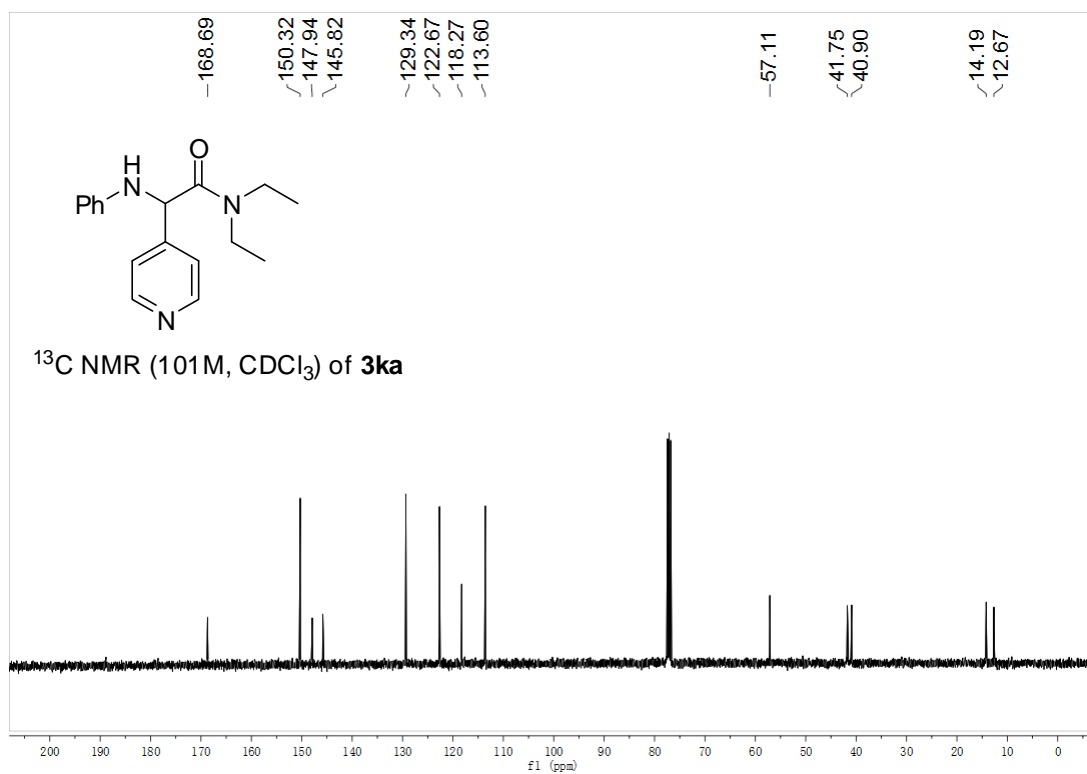
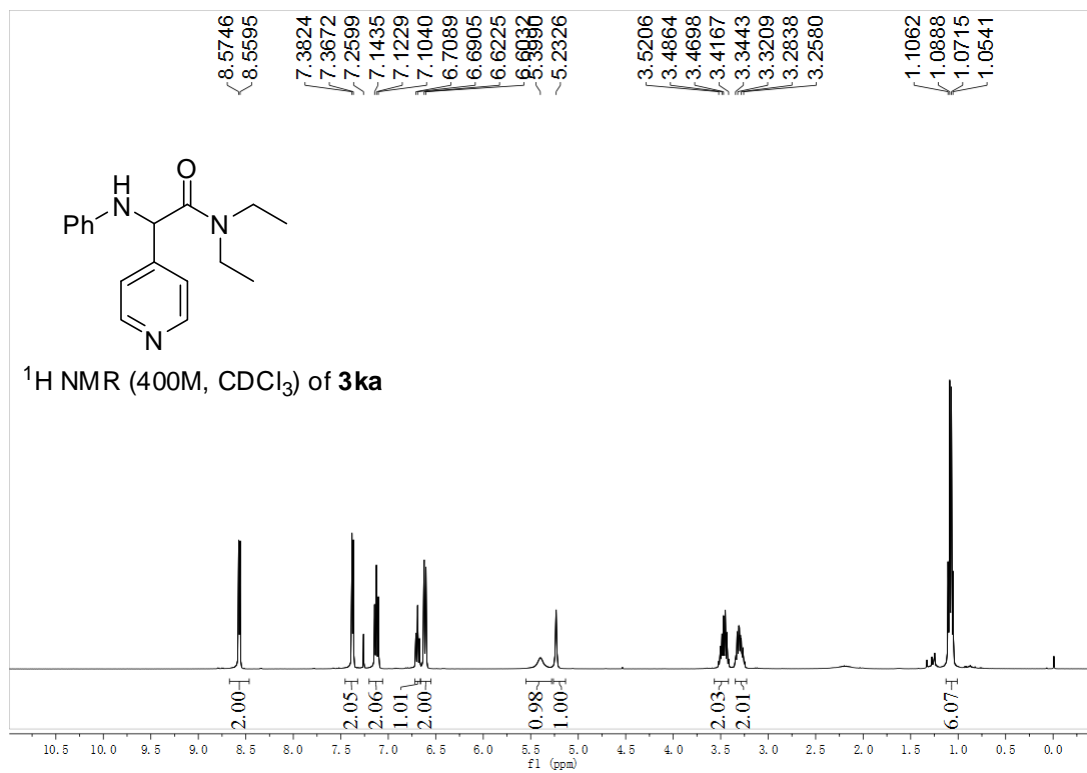


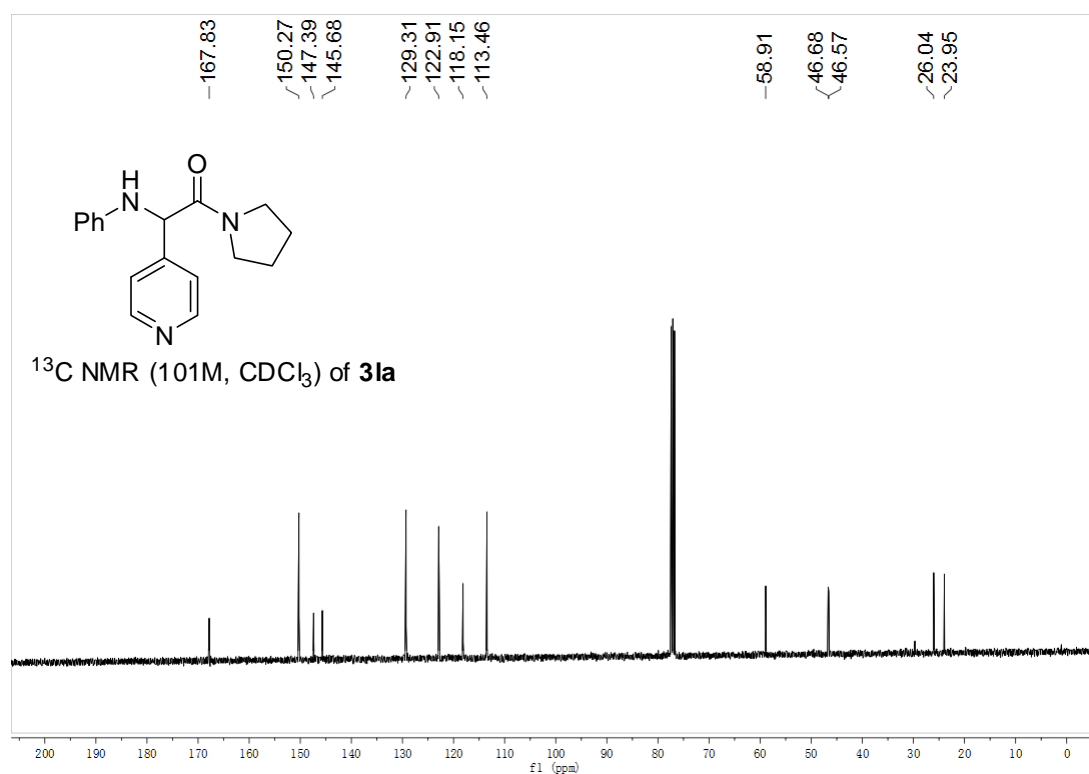
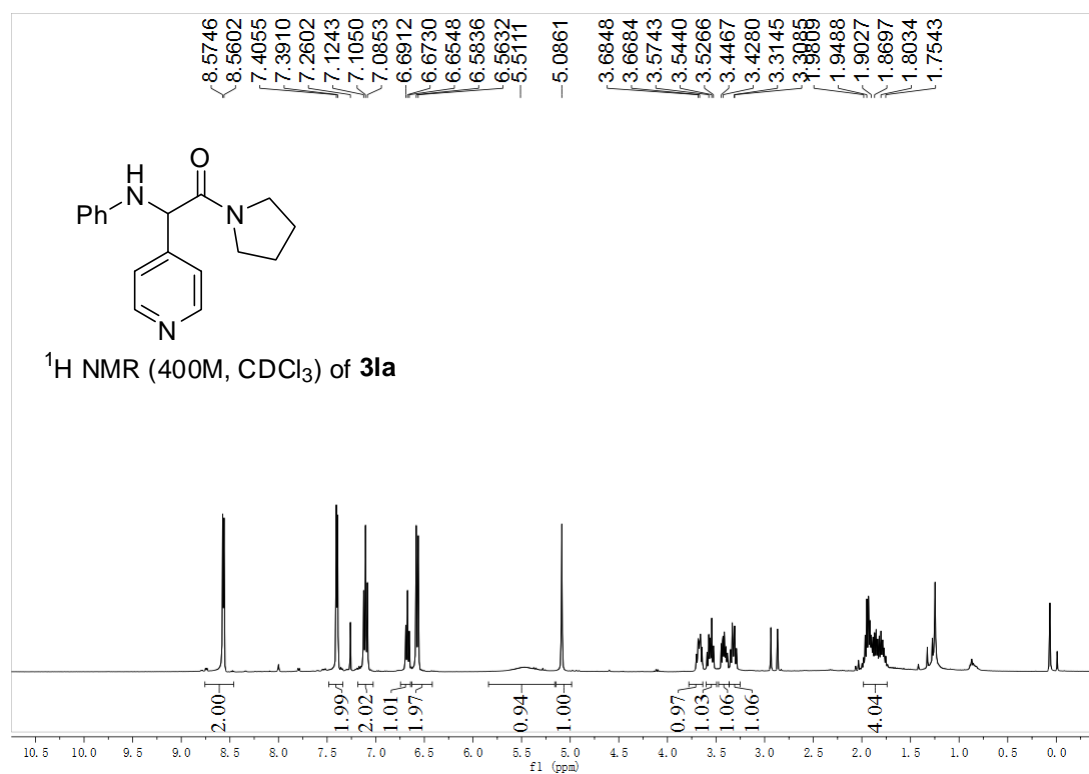


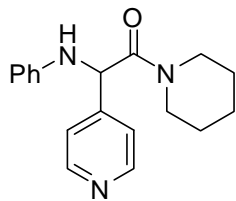




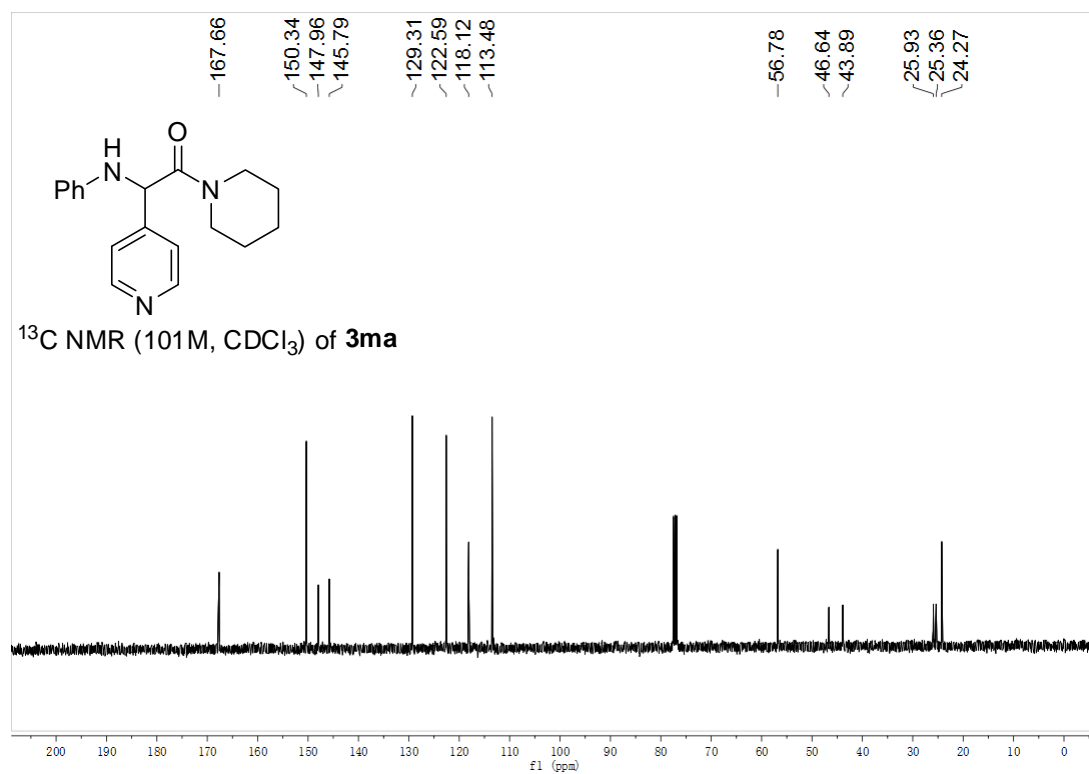
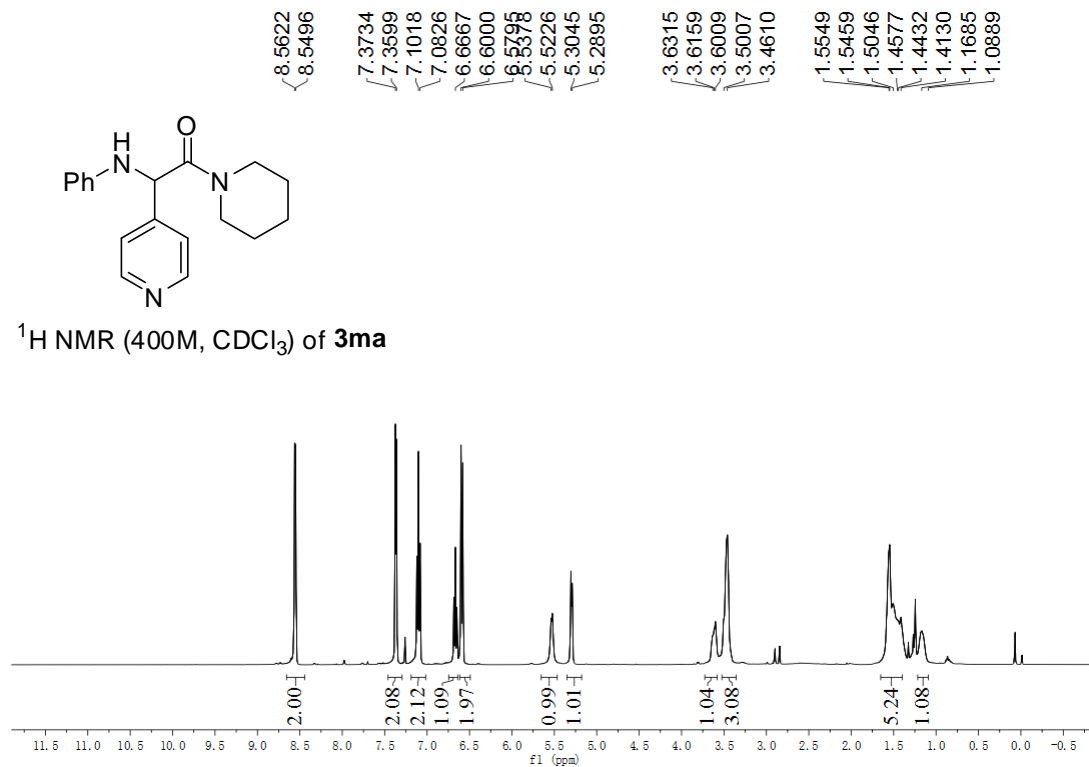








^1H NMR (400M, CDCl_3) of **3ma**



^{13}C NMR (101M, CDCl_3) of **3ma**

