Supplementary Information

Visible-light-induced C–H Alkylation of Pyridine Derivatives *via* 1,2-Hydrogen Atom Transfer

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1. General Information

Unless otherwise noted, all reagents were obtained from commercial suppliers and used without further purification. All reactions were carried out in a sealed tube with a magnetic stir bar under an argon atmosphere. Except for the specially mentioned dry solvent, all the solvents were treated according to general methods. All the reactions were monitored by thin-layer chromatography (TLC) and were visualized using UV light. Product purification was done using silica gel column chromatography. Thin-layer chromatography (TLC) characterization was performed with precoated silica gel GF254 (0.2 mm), while column chromatography characterization was performed with silica gel (100-200 mesh). ^{1}H NMR and ^{13}C NMR spectra were recorded with tetramethylsilane (TMS, δ = 0.00 ppm) as the internal standard. ^{1}H NMR spectra were recorded at 400 or 600 MHz (Varian) and ^{13}C NMR spectra were recorded at 100 or 150 MHz (Varian). ^{19}F NMR spectra were recorded at at 376 MHz (Varian). Chemical shifts are reported in ppm downfield from $CDCl_3$ (δ =7.26 ppm) or DMSO-d_6 (δ = 2.50 ppm; H_2O signal was found at δ = 3.34 ppm) for ^{1}H NMR and chemical shifts for ^{13}C NMR spectra are reported in ppm relative to the central $CDCl_3$ (δ = 77.0 ppm) or DMSO-d_6 (δ = 39.6 ppm). Coupling constants were given in Hz. The following notations were used: br-broad, s-singlet, d-doublet, t-triplet, q-quartet, m-multiplet, dd-doublet of doublet, dt-doublet of triplet, td-triplet of doublet. HRMS spectra were recorded a MicrOTOF-QIII (Bruker.Daltonics). Melting points were measured with YRT-3 melting point apparatus (Shantou Keyi Instrument &Equipment Co., Ltd., Shantou, China). The blue light source was provided by shanghai 3S Technology Co., Ltd SSSTECH-LAL1CV 1.0 parallel reactor. High-resolution mass spectra (HRMS) were recorded on a Bruker TOF Premier by the ESI method. The volume of the reaction tube is 10 ml. Photochemical reaction was carried out under visible light irradiation by a blue LED at SSSTECH-LAL1CV 1.0 parallel reactor manufactured by Shanghai 3S Technology Co., Ltd was used in this system. The blue LED's energy peak wavelength is 451 nm, peak width at half-height is 21 nm, irradiance@12 W is 49.2 mW/cm^2. The reaction vessel is a borosilicate glass test tube

and the distance between it and the lamp is 0.5 cm, no filter applied. (Figure S1 and S2).

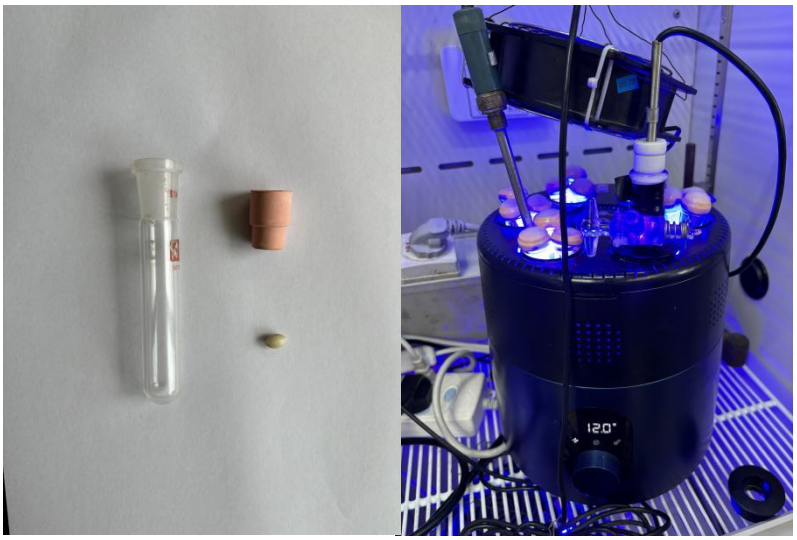


Figure S1. blue LED reactors

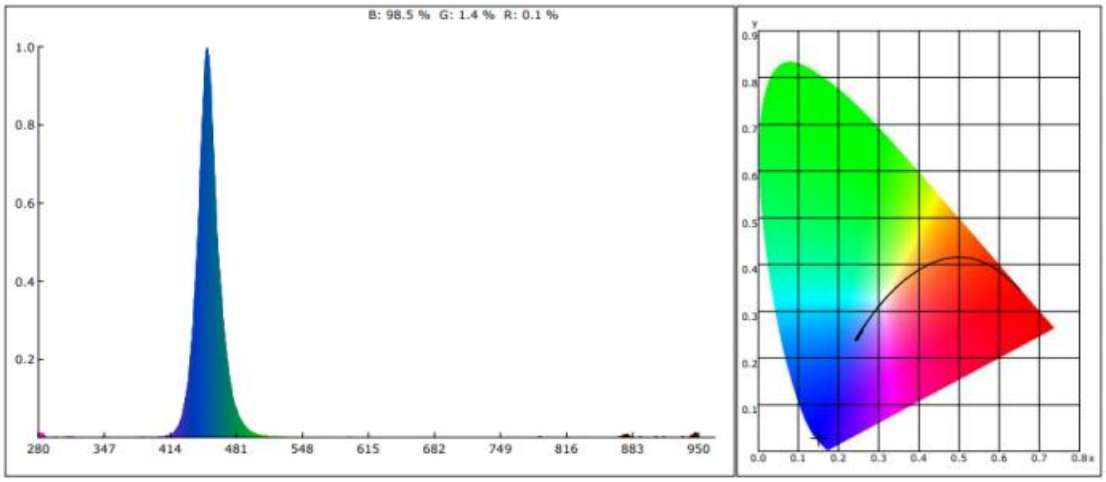
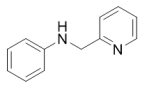


Figure S2. The spectrum of Blue LED

2. Preparation of substrates

2.1 Starting materials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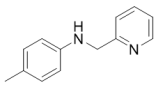
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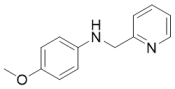
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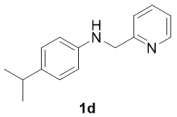
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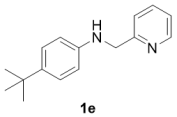
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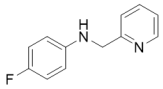
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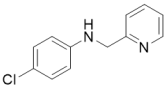
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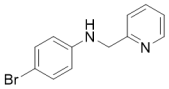
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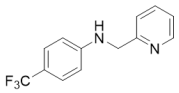
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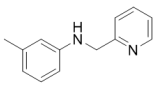
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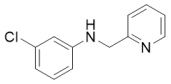
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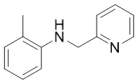
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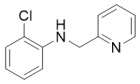
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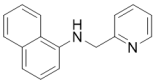
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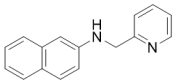
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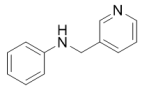
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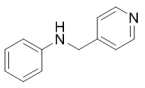
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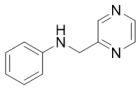
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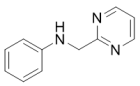
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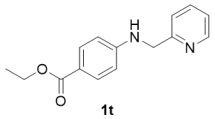
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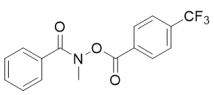
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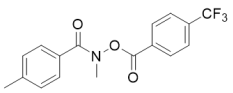
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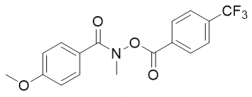
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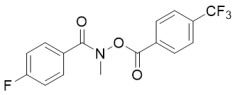
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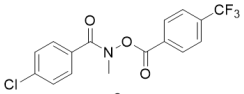
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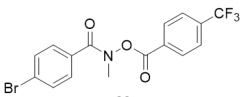
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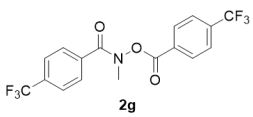
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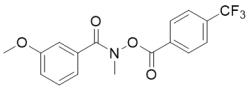
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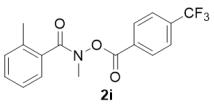
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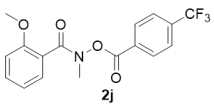
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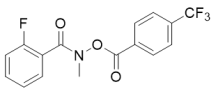
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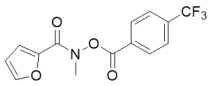
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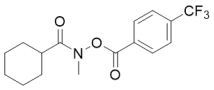
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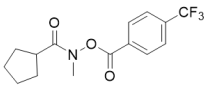
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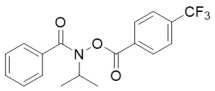
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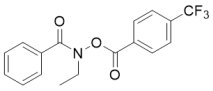
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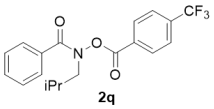
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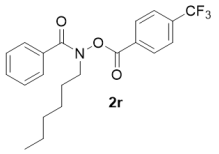
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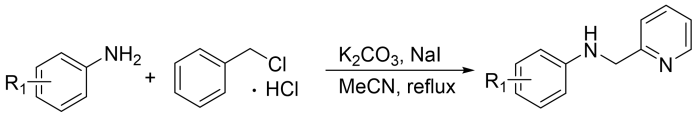
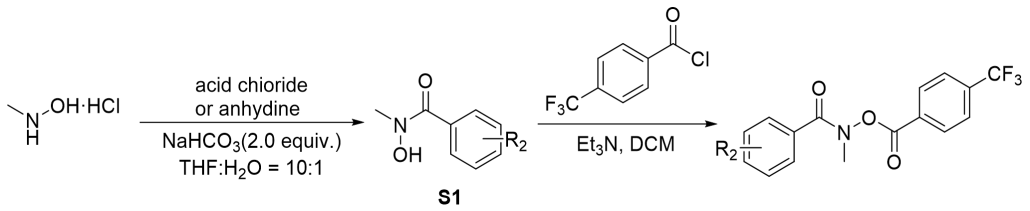
2.2 Preparation of methyl phenyl-substituted pyridin-2-ylmethan-amine derivative.[1,2]



Pyridin-2-ylmethan-amine derivatives (**1a - 1t**) are known compounds and prepared according to the literature procedure:

A mixture of an aromatic amine (15 mmol, 1.5 equiv), 2-clormethyl-pridine hydrochlorid (10 mmol, 1.0 equiv), potassium carbonate (20 mmol, 2 equiv) and sodium iodide (6 mmol, 0.6 equiv) in MeCN (60 mL) was reflux for 12h (an oil bath). The mixture was cooled to room temperature and filtered by Celite. The combined organic layer was concentrated in vacuo. Purification by flash column chromatography on silica gel afforded the title compounds.

2.3 Preparation of hydroxamic acid derivatives[3,4]

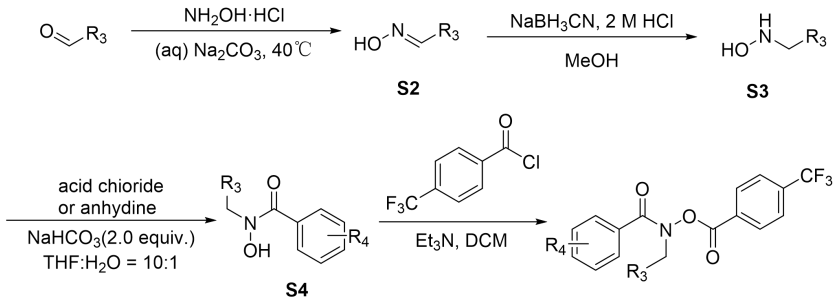


Hydroxamic acid derivatives (**2a - 2n**) are known compounds and prepared according to the literature procedure:

Step 1: To a stirring suspension of N-substituted hydroxylamine hydrochloride (11 mmol, 1.1 equiv.) and $NaHCO_3$ (20 mmol, 2.0 equiv.) in 20 mL THF/H_2O (10:1, 0.5 M) was added acyl chloride or anhydride (10 mmol, 1.0 equiv.) dropwise over 20 min. The reaction mixture was allowed to stir for 2 h and was then diluted with H_2O. The mixture was extracted with ethyl acetate (3 × 20 mL). The organic layers were combined, washed with saturated brine solution (100 mL), dried over anhydrous Na_2SO_4, and filtered. The filtrate was concentrated in *vacuo*. The resultant solid was submitted to the next step without further purification.

Step 2: To a solution of **S1** (5.5 mmol, 1.1 equiv.) in 20 mL anhydrous CH_2Cl_2 (0.25 M) at 0 °C, Et_3N (7.5 mmol, 1.5 equiv.) was added dropwise.

4-Trifluoromethyl-benzoyl chloride (5 mmol, 1.0 equiv.) was then added dropwise over 5 minutes. The reaction was vigorously stirred at room temperature for 2 h. The mixture was diluted with saturated $NaHCO_3$ and CH_2Cl_2 and the layers were separated. The aqueous layer was extracted twice with CH_2Cl_2, and the combined organic layers were washed with 1 M HCl, saturated $NaHCO_3$ and brine, dried over anhydrous Na_2SO_4 and then evaporated. Purification by flash column chromatography on silica gel (PE/EA = 10:1) afforded the title compounds.



Hydroxamic acid derivatives (**2o - 2r**) are unknown compounds and prepared according to the literature procedure with slight modifications:

Step 1: The ketone (5.0 mmol, 1.0 equiv.) and hydroxylamine hydrochloride (5.5 mmol, 1.1 equiv.) were placed in a 100 mL flask equipped with stirrer. The pH of the solution was held at 7 – 8 by adding saturated aq. sodium carbonate (10 mL). The resulting solution was stirred at 40 ℃ (an oil bath). After extraction with ether, the solution was dried over Na_2SO_4 and evaporated to provide crude products which were used in the next step without further purification.

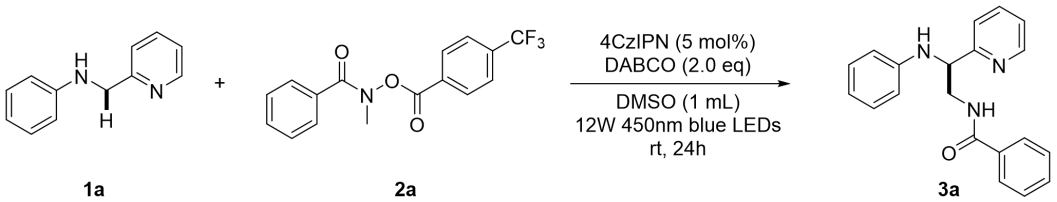
Step 2: To a stirring solution of oxime **S2** (1.0 equiv) in MeOH (1 M) containing an altered pH strip were added solid $NaBH_3CN$ (3.0 equiv) and aqueous HCl (2.0 M) over 15 min in such a way that the pH of the solution stayed within 2 – 3 during the duration of the addition. The reaction mixture was allowed to stir or an additional 6 h, and then was quenched with the addition of aqueous 10% NaOH (until pH = 10). MeOH was removed in vacuo. The remaining aqueous solution was extracted with CH_2Cl_2. The organic layers were combined, washed with brine , dried over Na_2SO_4,

and filtered. Th filtrate was concentrated in *vacuo*. The crude residue was submitted to the next step without further purification.

Step 3: To a stirring solution of **S3** (1.1 equiv.) and $NaHCO_3$ (2.0 equiv.) in THF/H_2O (10:1, 0.5 M) was added acyl chloride or anhydride (1.0 equiv.) dropwise over 20 min. The reaction mixture was allowed to stir for 2 h and was then diluted with H_2O. The mixture was extracted with ethyl acetate. The organic layers were combined, washed with saturated brine solution, dried over anhydrous Na_2SO_4, and filtered. The filtrate was concentrated in *vacuo*. The resultant solid was submitted to the next step without further purification.

Step 4: To a solution of **S4** (1.1 equiv.) in anhydrous CH_2Cl_2 (0.25 M) at 0 °C, Et_3N (1.5 equiv.) was added dropwise. 4-Trifluoromethyl-benzoyl chloride (1.0 equiv.) was then added dropwise over 5 minutes. The reaction was vigorously stirred at room temperature for 2 h. The mixture was diluted with saturated $NaHCO_3$ and CH_2Cl_2 and the layers were separated. The aqueous layer was extracted twice with CH_2Cl_2, and the combined organic layers were washed with 1 M HCl, saturated $NaHCO_3$ and brine, dried over anhydrous Na_2SO_4 and then evaporated. Purification by flash column chromatography on silica gel (PE/EA = 10:1) afforded the title compounds.

3. General procedure for C–H alkylation of pyridine derivatives via 1,2-hydrogen atom transfer



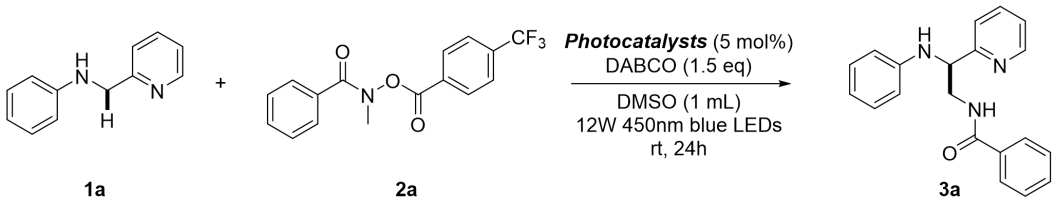
1a **2a** **3a**

To an oven-dried 10 mL sealed tube equipped with a stir bar, was charged with N-(pyridin-2-methyl) aniline **1a** (0.1 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (0.15 mmol, 1.5 equiv.), 4CzIPN (5.0 mol%), DABCO (0.2 mmol, 2.0 equiv) and DMSO (1.0 mL). The solution was then stirred at room temperature under the

irradiation of 12 W 450nm blue LEDs for 24 h using electronic fan to cool the tube. After completion of the reaction, the resulting mixture was quenched with H_2O (10 mL) and extracted with CH_2Cl_2 (3 × 10 mL). The combined organic layer was washed with brine (20 mL) and then dried over anhydrous Na_2SO_4 and concentrated in vacuo. Purification by flash column chromatography on silica gel afforded the desired products.

4. Optimization of reaction conditions

Table S1. Photocatalysts screening[a]



1a **2a** **3a**

Entry	Photocatalyst (5%)	Yield[b](%)
1	Ph-PTZ	0
2	4CzTPN	32
3	**4CzIPN**	48
4	4DPAIPN	Trace
5	3CzClIPN	14
6	$Ru(bpy)_3Cl_2$	17
7	$[Ir(dF(CF_3)ppy)_2(dtbpy)]PF_6$	25
8	$[Ir(dtbbpy)(ppy)_2]PF_6$	Trace
9	*fac*-$Ir(ppy)_3$	Trace

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.15 mmol, 1.5 equiv.), Photocatalyst (5 mol%), DABCO (0.15 mmol, 1.5 equiv.), DMSO (1 mL), RT, 12 W 450nm blue LEDs, argon, and 24 h. [b] Isolated yield.

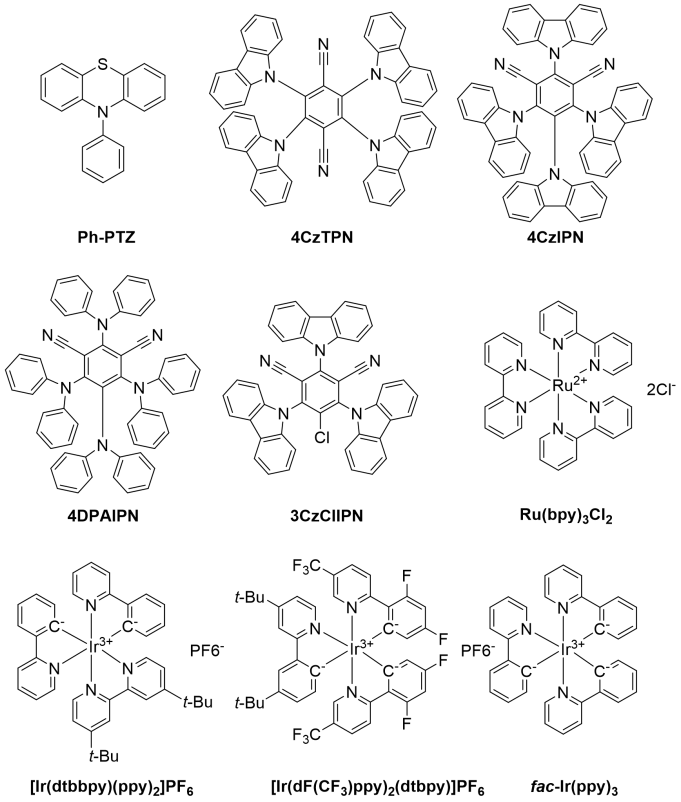
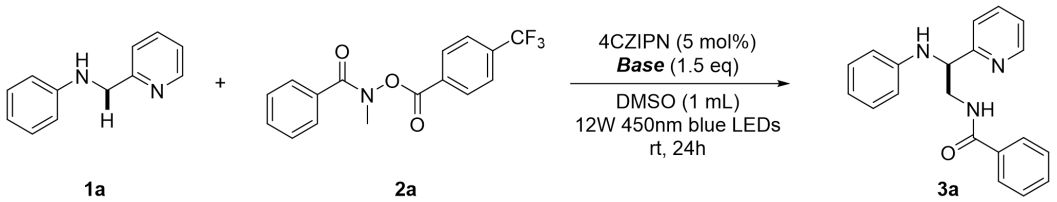


Table S2. Base screening[a]

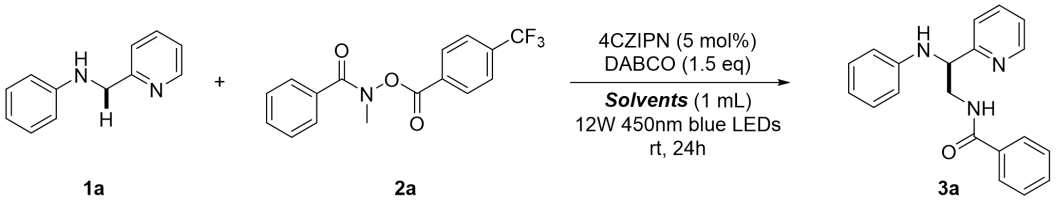


1a 2a 3a

Entry	Base	Yield[b](%)
1	**DABCO**	48
2	DIPEA	Trace
3	Na_2CO_3	Trace
4	K_2CO_3	0
5	Cs_2CO_3	0
6	Et_3N	27
7	DBU	12
7	DMAP	6
8	NaOAc	0
9	K_3PO_4	0

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.15 mmol, 1.5 equiv.), 4CzIPN (5 mol%), base (0.15 mmol, 1.5 equiv.), DMSO (1 mL), RT, 12 W 450nm blue LEDs, argon, and 24 h. [b] Isolated yield.

Table S3. Solvents screening[a]

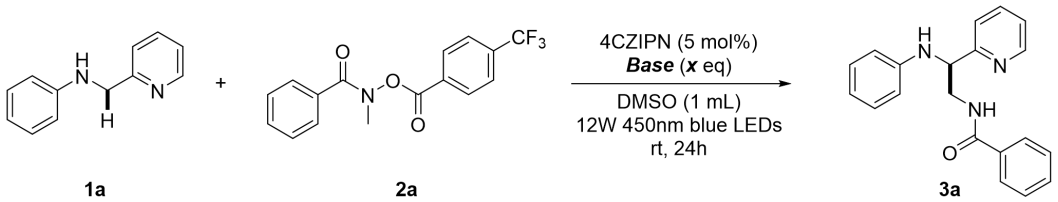


1a 2a 3a

Entry	Solvents	Yield[b](%)
1	**DMSO**	48
2	DMF	Trace
3	DMAc	0
4	DCE	Trace
5	DCM	0
6	EA	0
7	MeCN	0

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.15 mmol, 1.5 equiv.), 4CzIPN (5 mol%), DABCO (0.15 mmol, 1.5 equiv.), Solvent (1 mL), RT, 12 W 450nm blue LEDs, argon, and 24 h. [b] Isolated yield.

Table S4. screening the amount of base[a]

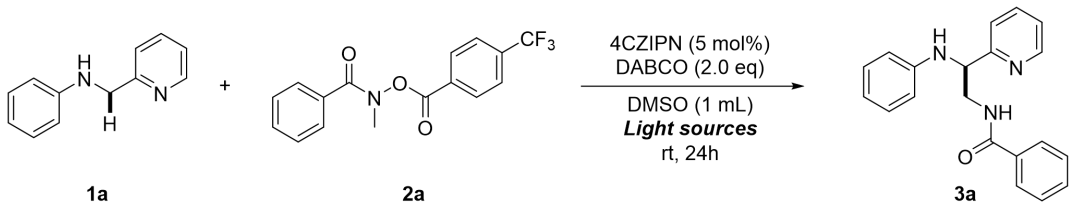


1a 2a 3a

Entry	Base (x equiv.)	Yield[b](%)
1	1.5 equiv. DABCO	48
2	**2 equiv. DABCO**	80
3	2.5 equiv. DABCO	Trace
4	2 equiv. Et_3N	52
5	2 equiv. DBU	47
6	2 equiv. DMAP	14

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.15 mmol, 1.5 equiv.), 4CzIPN (5 mol%), base (x equiv.), DMSO (1 mL), RT, 12 W 450nm blue LEDs, argon, and 24 h. [b] Isolated yield.

Table S5. Light sources screening[a]

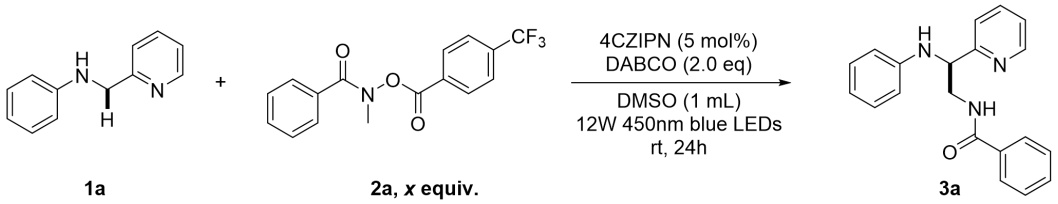


1a 2a 3a

Entry	Light sources	Yield[b](%)
1	6w 7500 k white LEDs	0
2	6w 390 nm purple LEDs	＜10
3	6w 425 nm purple LEDs	31
4	6w 450 nm blue LEDs	45
5	6w 525 nm green LEDs	0
6	12w 7500 k white LEDs	0
7	12w 390 nm purple LEDs	12
8	12w 425 nm purple LEDs	58
9	12w 450 nm blue LEDs	80
10	12w 525 nm green LEDs	0
11	40w 390nm purple Kessil Lamps	＜10
12	40w 425nm purple Kessil Lamps	40
13	40w 450nm blue Kessil Lamps	57
14	40w 525nm blue Kessil Lamps	0

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.15 mmol, 1.5 equiv.), 4CzIPN (5 mol%), DABCO (0.2 mmol, 2.0 equiv.), Solvent (1 mL), RT, light source, argon, and 24 h. [b] Isolated yield.

Table S6. screening the loading of **2a**[a]

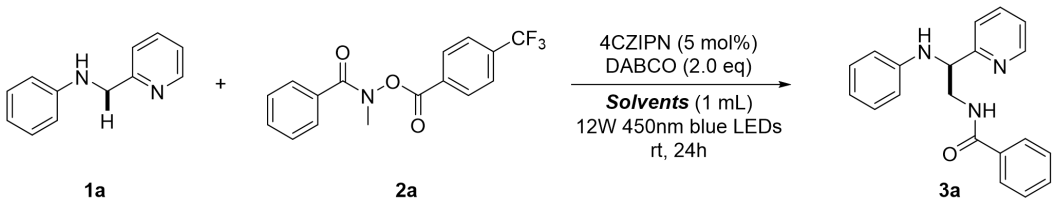


1a **2a, *x* equiv.** **3a**

Entry	**2a** (x equiv.)	Yield[b](%)
1	1	50
2	**1.5**	80
3	2	Trace

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (x equiv.), 4CzIPN (5 mol%), DABCO (0.2 mmol, 2.0 equiv.), DMSO (1 mL), RT, 12 W 450nm blue LEDs, argon, and 24 h. [b] Isolated yield.

Table S7. Solvents screening[a]

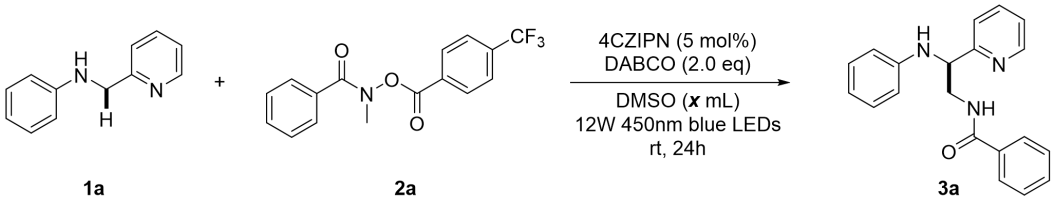


1a **2a** **3a**

Entry	Solvents	Yield[b](%)
1	**DMSO**	80
2	DMF	65
3	DMAc	0
4	THF	54
5	NMP	0
6	MeCN	0
7	EA	0
8	DCE	15
9	DCM	0
10	$CHCl_3$	0

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.15 mmol, 1.5 equiv.), 4CzIPN (5 mol%), DABCO (0.20 mmol, 2.0 equiv.), Solvent (1 mL), RT, 12 W 450nm blue LEDs, argon, and 24 h. [b] Isolated yield.

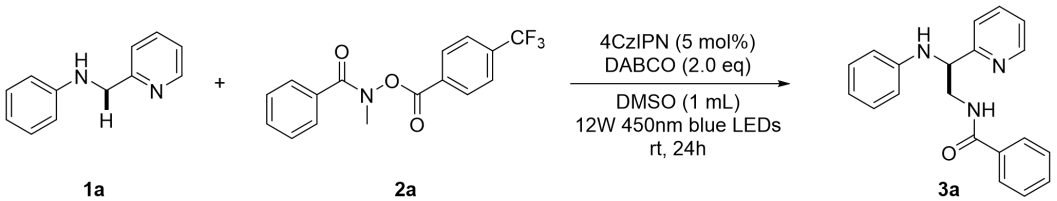
Table S8. Reaction concentration screening[a]



1a **2a** **3a**

Entry	DMSO (x mL)	Yield[b](%)
1	0.5	41
2	**1.0**	80
3	1.5	68

Table S9. Control experiments under the standard conditions[a]



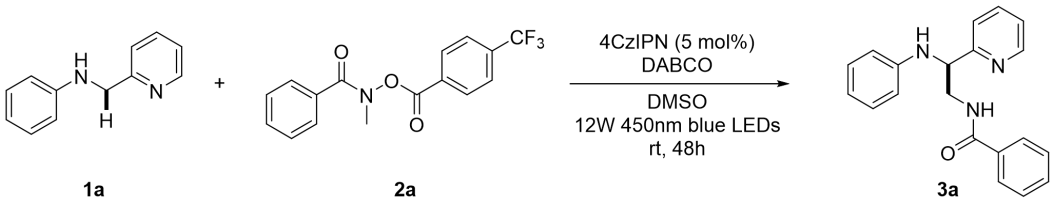
1a **2a** **3a**

Entry	Changes from the standard conditions	Yield[b](%)
1	**standard conditions**	80
2	in the dark	0
3	without photocatalyst	40
4	without base	0

[a] Reaction conditions: **1a** (0.1 mmol, 1.0 equiv.), **2a** (0.15 mmol, 1.5 equiv.), 4CzIPN (5 mol%), DABCO (0.2 mmol, 2.0 equiv.), DMSO (1 mL), RT, 12 W 450nm blue LEDs, argon, and 24 h. [b] Isolated yield.

5. Application Potential of this Protocol

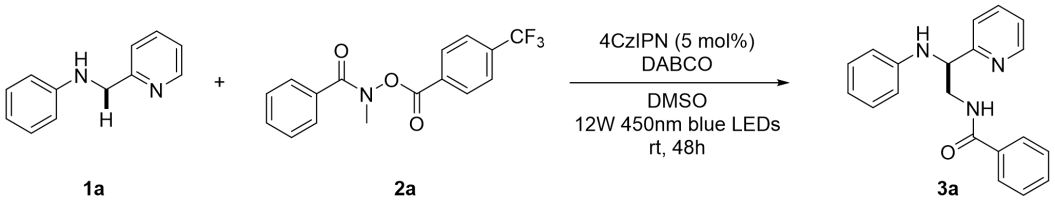
5.1 2 mmol-scale reaction



1a 2a 3a

A 25 mL Schlenk tube with a magnetic stirring bar was charged with N-(pyridin-2-methyl) aniline **1a** (2 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (3 mmol, 1.5 equiv.), 4CzIPN (5.0 mol%), DABCO (4 mmol, 2.0 equiv) and DMSO (15 mL). The tube was evacuated and backfilled with argon (three times). The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs for 48 h using electronic fan to cool the tube. After completion of reaction, the resulting mixture was quenched with H_2O (40 mL) and extracted with CH_2Cl_2 (3 x 40 mL). The combined organic layer was washed with brine (40 mL) and then dried over anhydrous Na_2SO_4 and concentrated in *vacuo*. Purification by flash column chromatography on silica gel afforded the *desired product* (431.6 mg, 68%).

5.2 Gram-scale reaction

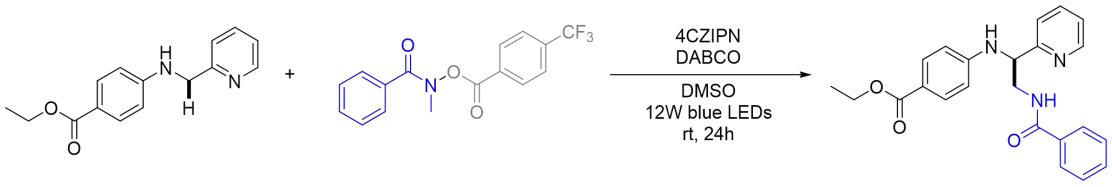


1a 2a 3a

A 100 mL Schlenk tube with a magnetic stirring bar was charged with N-(pyridin-2-methyl) aniline **1a** (5.4 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (8.2 mmol, 1.5 equiv.), 4CzIPN (5.0 mol%), DABCO (10.8 mmol, 2.0 equiv) and DMSO (25 mL). The tube was evacuated and backfilled with argon (three times). The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs for 48 h using electronic fan to cool the tube. After completion of reaction, the resulting mixture was quenched with H_2O (150 mL) and extracted with CH_2Cl_2 (3 x 150 mL). The combined organic layer was washed with brine (150 mL) and then

dried over anhydrous Na_2SO_4 and concentrated in *vacuo*. Purification by flash column chromatography on silica gel afforded the *desired product* 982.4 mg, 57%).

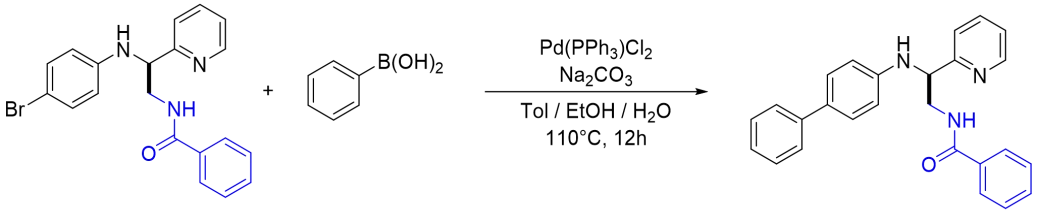
5.3 Benzocaine as starting materials



1u **2a** **3al**, 72%

To an oven-dried 10 mL sealed tube equipped with a stir bar, was charged with **1u** (25.6 mg, 0.1 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (48.5 mg, 0.15 mmol, 1.5 equiv.), 4CzIPN (2.4 mg, 5.0 mol%), DABCO (22.4 mg, 0.2 mmol, 2.0 equiv). The tube was evacuated and backfilled with argon (three times), then sealed with rubber stopper and parafilm. Then, anhydrous DMSO (1 mL, 0.1 M) was added using a syringe. The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs for 24 h using electronic fan to cool the tube. After completion of the reaction, the resulting mixture was quenched with H_2O (10 mL) and extracted with CH_2Cl_2 (3 × 10 mL). The combined organic layer was washed with brine (20 mL) and then dried over anhydrous Na_2SO_4 and concentrated in vacuo. Purification by flash column chromatography on silica gel afforded the desired product **3al** in 72% yield.

5.4 Suzuki coupling of 3h

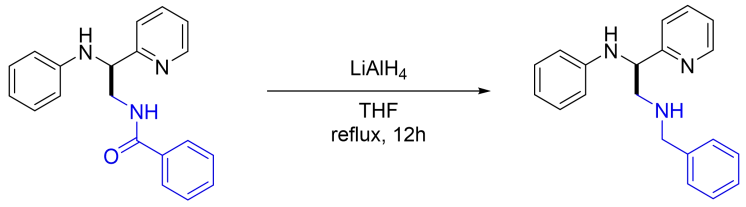


3h **3an**, 31%

To an oven-dried 15 ml pressure tube equipped with a stir bar, was charged with **3h** (0.05 mmol, 1.0 equiv.), phenylboronic acid (0.09 mmol, 1.5 equiv.), Na_2CO_3 (3.0 equiv.) and Pd (PPh_3)Cl_2 (3 mol %) were added. The tube was evacuated and filled with nitrogen (three times), then 5:1:5 solution of Toluene: EtOH: H_2O (1 ml) were added. The tube was put into a heating jacket and stirred at 110℃ for 12h. The

reaction mixture was cooled to room temperature and filtered through a celite. The celite was washed with EtOAc, the combined organic layer was dried over anhydrous Na_2SO_4 and concentrated in vacuo. Purification by flash column chromatography on silica gel afforded the desired product **3an** in 31% yield.

5.5 Reduction of 3a

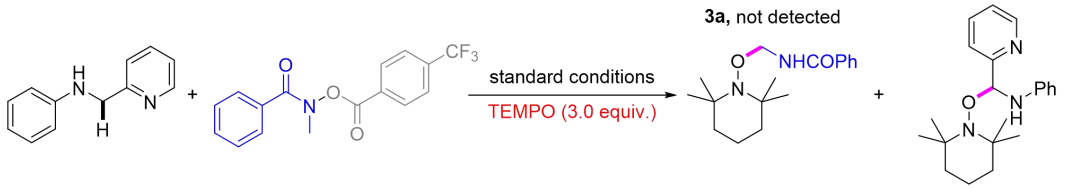


3a **4a**, 86%

A mixture of **3a** (0.15 mmol, 1.0 equiv.), $LiAlH_4$ (1.0M in THF, 0.45mmol, 3.0 equiv), in THF (1mL) was reflux for 12h (an oil bath). The mixture was cooled to room temperature and filtered by Celite. The combined organic layer was concentrated in vacuo. Purification by flash column chromatography on silica gel afforded the desired product **4a** in 86% yield.

6. Mechanistic Experiments

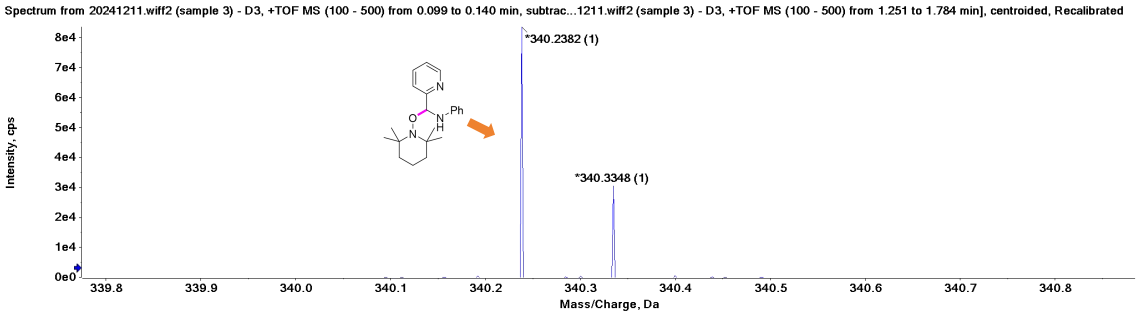
6.1 Radical trapping experiments



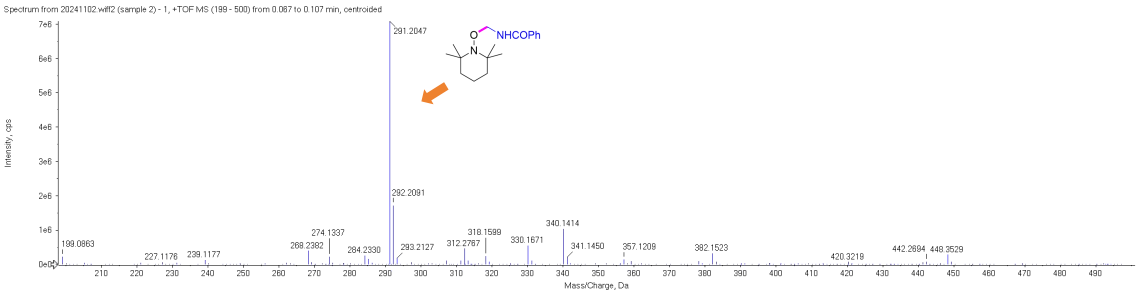
1a **2a** **5a**, identified by HRMS **5b**, identified by HRMS

To an oven-dried 10 mL sealed tube equipped with a stir bar, was charged with N-(pyridin-2-methyl) aniline **1a** (18.4 mg, 0.1 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (48.5 mg, 0.15 mmol, 1.5 equiv.), 4CzIPN (2.4 mg, 5.0 mol%), DABCO (22.4 mg, 0.2 mmol, 2.0 equiv) and TEMPO (46.9 mg, 0.3 mmol, 3.0 equiv). The tube was evacuated and backfilled with argon (three times), then sealed with rubber stopper and parafilm. Then, anhydrous DMSO (1 mL, 0.1 M) was added using a syringe. The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs for 24 h using electronic fan to cool the tube. After 24 h, no

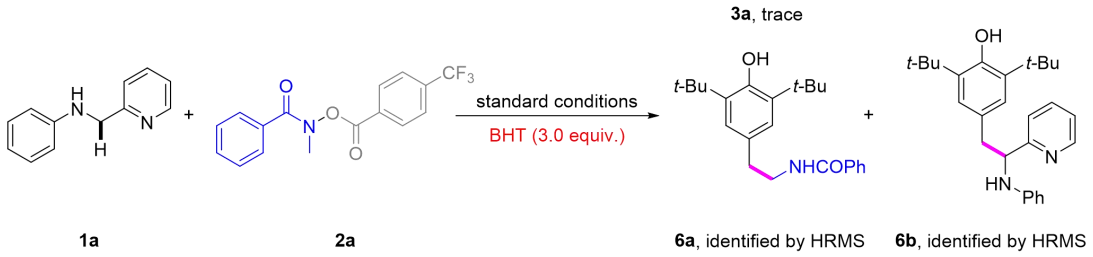
desired product **3a** was formed by TLC analysis. And the radical adducts with TEMPO **4a** and **4b** were indentified by HRMS analysis.



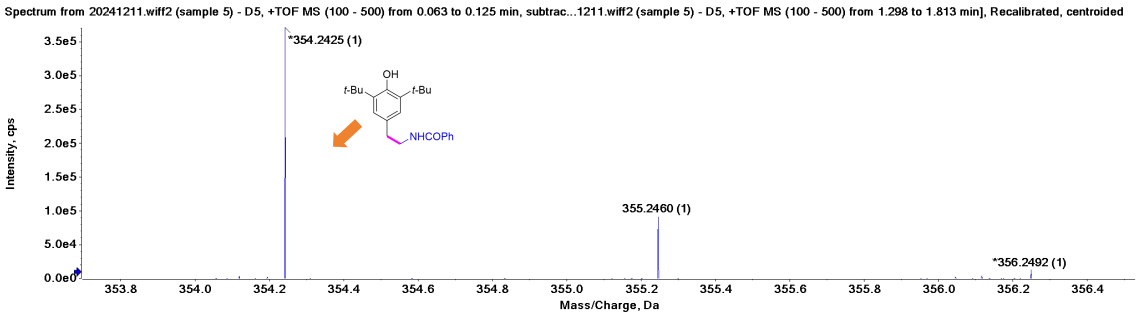
5a HRMS m/z (ESI) calcd for $C_{17}H_{26}N_2O_2$ $[M + H]^+$ 291.2067, found 291.2047.



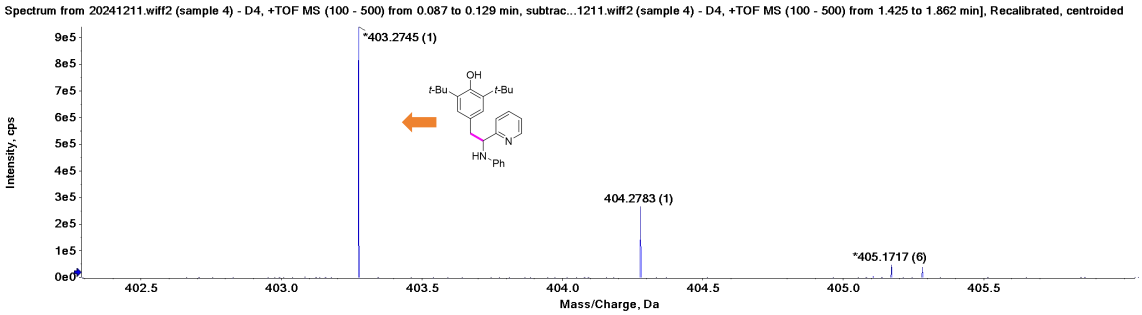
5b HRMS m/z (ESI) calcd for $C_{21}H_{29}N_3O_2$ $[M + H]^+$ 340.2384, found 340.2382.



To an oven-dried 10 mL sealed tube equipped with a stir bar, was charged with N-(pyridin-2-methyl) aniline **1a** (18.4 mg, 0.1 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (48.5 mg, 0.15 mmol, 1.5 equiv.), 4CzIPN (2.4 mg, 5.0 mol%), DABCO (22.4 mg, 0.2 mmol, 2.0 equiv) and BHT (66.0 mg, 0.3 mmol, 3.0 equiv.). The tube was evacuated and back-filled with argon (three times), then sealed with rubber stopper and parafilm. Then, anhydrous DMSO (1 mL, 0.1 M) was added using a syringe. The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs for 24 h using electronic fan to cool the tube. After 24 h, the reaction was inhibited as judged by TLC analysis. And the radical adducts with BHT **5a** and **5b** were indentified by HRMS analysis.



6a HRMS m/z (ESI) calcd for $C_{23}H_{31}NO_2$ $[M + H]^+$ 354.2428, found 354.2425.



6b HRMS m/z (ESI) calcd for $C_{27}H_{34}N_2O$ $[M + H]^+$ 403.2744, found 403.2745.

6.2 Stern-Volmer quenching experiments

All fluorescence measurements were recorded by a SHIMADZU RF-5301PC spectrophotometer. First, the emission intensity of 4CzIPN solutions was observed at 552 nm. The solutions were irradiated at 369 nm (Maximum absorption wavelength of 4CzIPN) and fluorescence was measured from 400 nm to 750 nm. Stern-Volmer fluorescence quenching experiments were run with freshly prepared solutions of 10^{-5} M 4CzIPN and varying concentrations of quencher **1a** or **2a** in DMSO at room temperature. In a typical experiment, appropriate amount of quencher was added to the measured solution in a quartz cuvette and the emission spectrum of the sample was collected. I_0 and I represent the intensities of the emission in the absence and presence of the quencher at 369 nm.

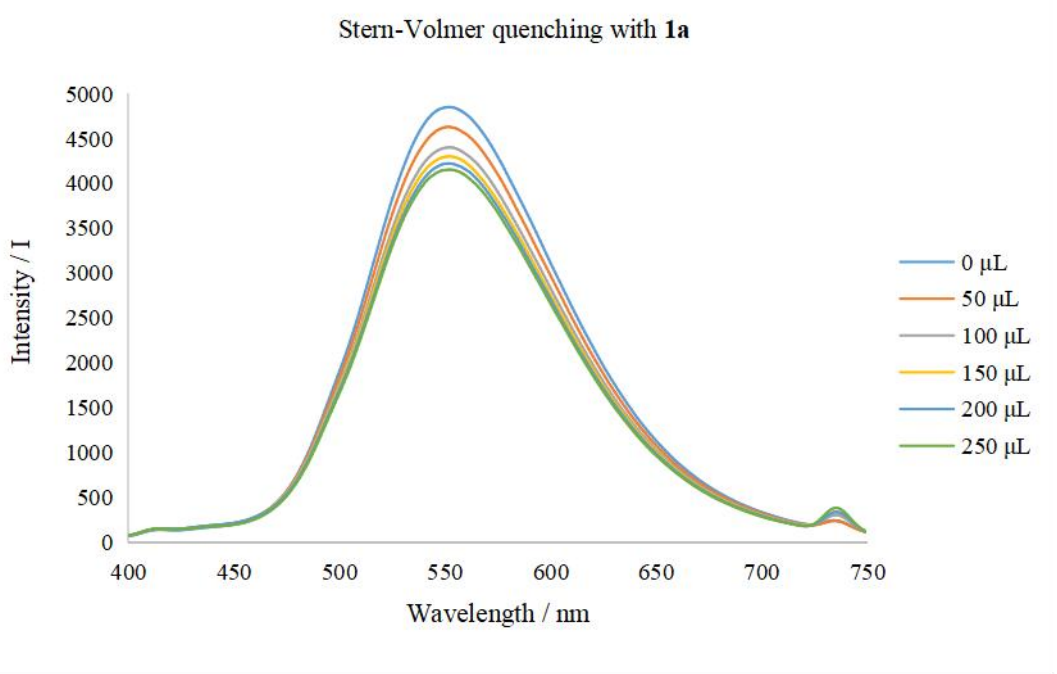


Figure S3. The emission quenching spectrum of 4CzIPN by various concentrations of quencher **1a**

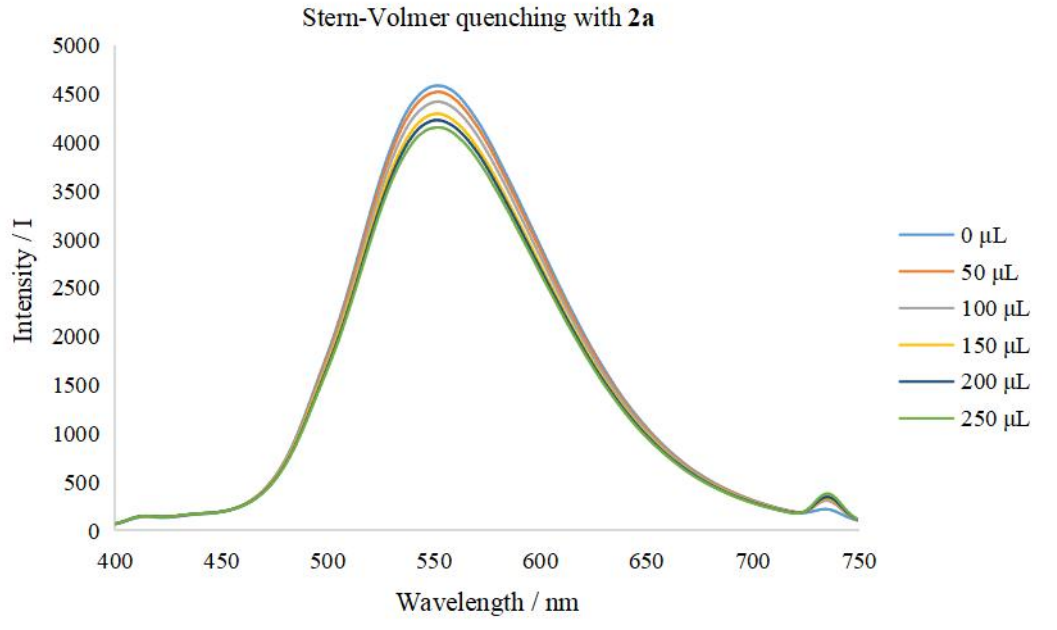


Figure S4. The emission quenching spectrum of 4CzIPN by various concentrations of quencher **2a**

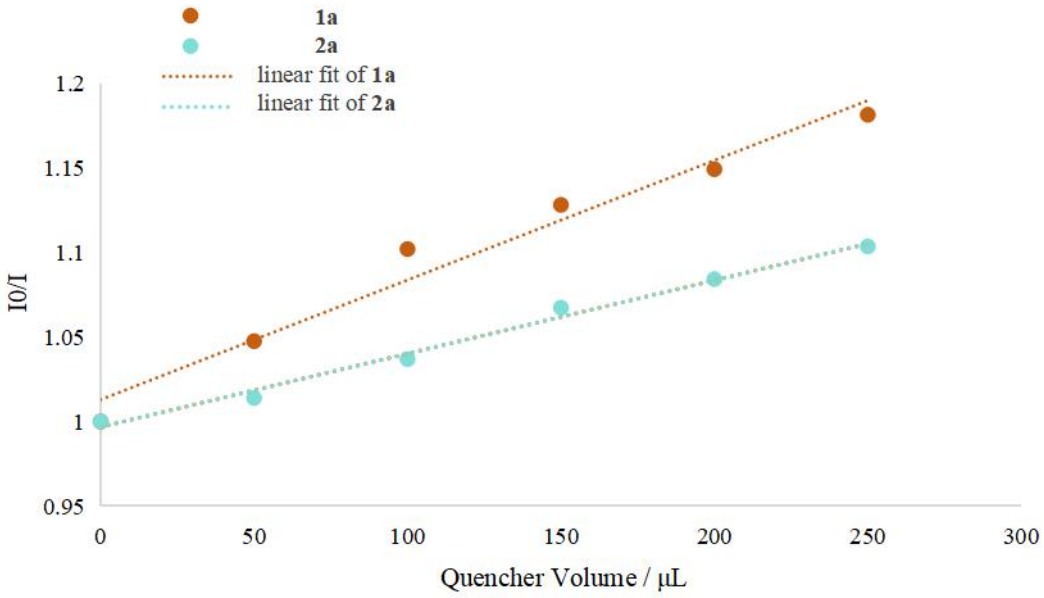


Figure S5. Stern-Volmer plots of 4CzIPN with two quenchers

The results indicated a faster quenching rate of 4CzIPN* by **1a** than by **2a**.

6.3 Cyclic voltammetry measurements

Cyclic voltammograms were taken on a CHI660E electrochemical workstation in MeCN at room temperaturee (25±2℃) using a glass carbon working electrode, saturated calomel electrode (SCE) as reference electrode, Pt wire as the auxiliary electrode, and 10 mM $^{n}Bu_4PF_6$ as supporting electrolyte.

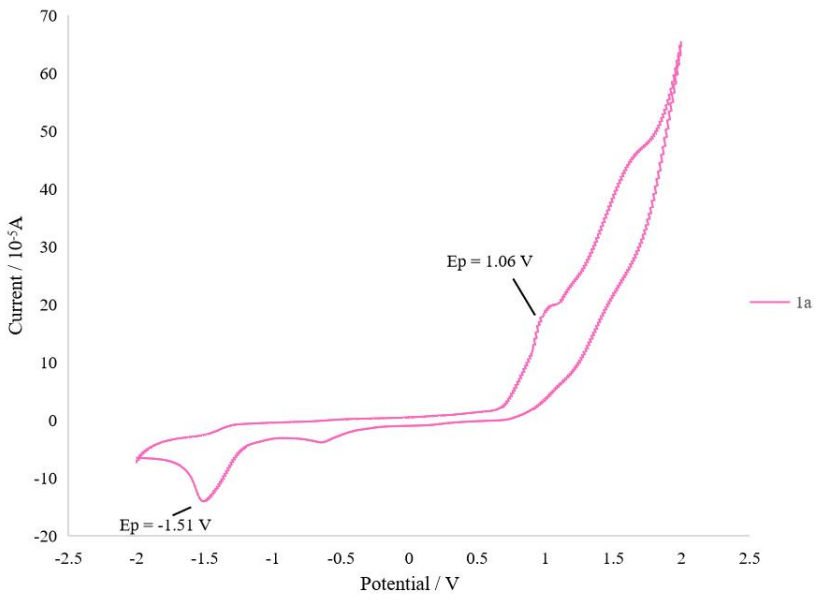


Figure S6. Cyclic voltammogram of **1a** in MeCN Scan direction: from -2.0 V to 2.0 V, then back to -2.0 V

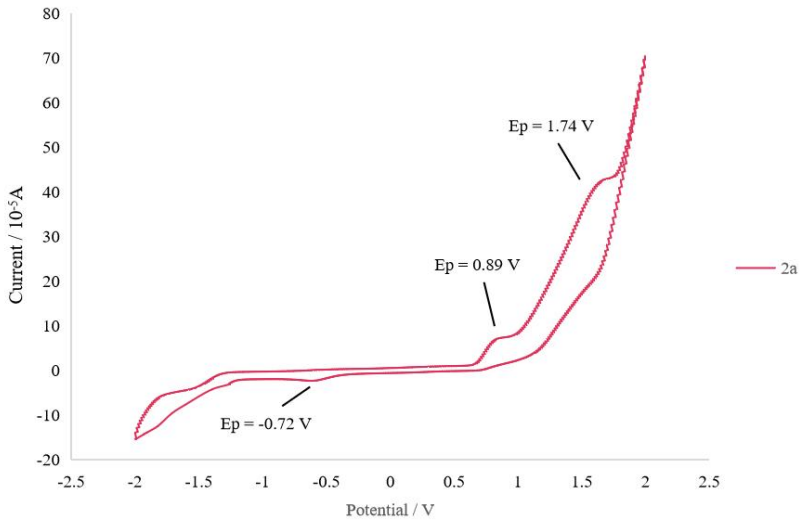


Figure S7. Cyclic voltammogram of **2a** in MeCN Scan direction: from -2.0 V to 2.0 V, then back to -2.0 V

6.4 Light On-Off experiment

A 25 mL Schlenk tube with a magnetic stirring bar was charged with N-(pyridin-2-methyl) aniline **1a** (2 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (3 mmol, 1.5 equiv.), 4CzIPN (5.0 mol%), DABCO (4 mmol, 2.0 equiv) and DMSO

(15 mL). The tube was evacuated and backfilled with argon (three times). The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs. After being irradiated for 4 h, a sample (300 μL) of the reaction mixture was pipetted into a nuclear magnetic tube that already contained of $CDCl_3$ (300 μL) of 1,3,5-trimethoxybenzene (0.0083 M). The yield of desired product **3a** was determined by ^1H NMR. Subsequently, the reaction mixture was stirred for 4 h with light off. All of the following yields were analyzed using the same procedure after a 4-hour light on or off.

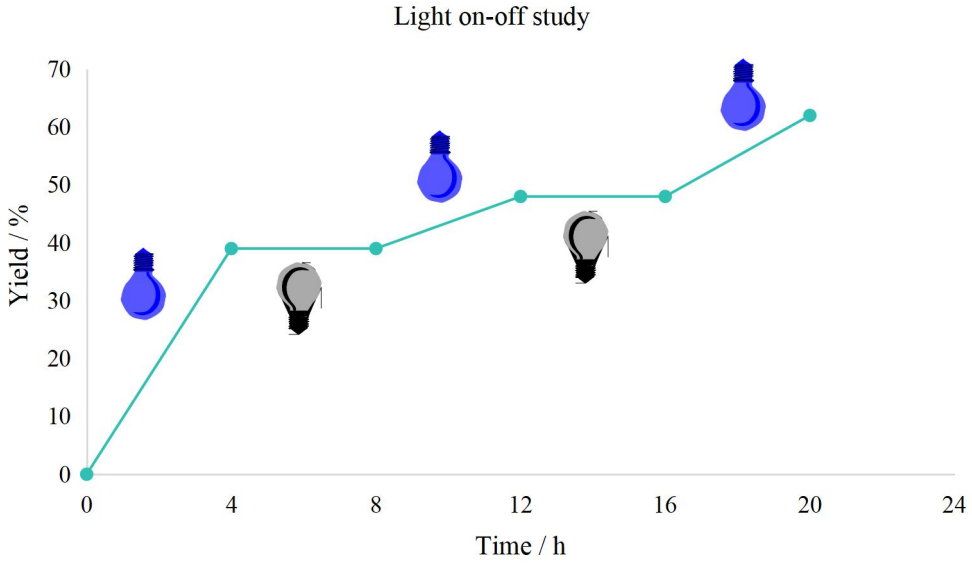


Figure S8. Time profile of the transformation with the light On-Off over time

6.5 UV-vis absorption spectra

The UV-vis absorption spectra of *N*-(pyridin-2-methyl) aniline **1a** (0.1 mmol, 1.0 equiv), hydroxamic acid derivative **2a** (0.15 mmol, 1.5 equiv), DABCO (0.2 mmol, 2.0 equiv) in DMSO were recored in 1 cm path quartz cuvettes by using a GENESYS UV-Visible spectrophotometer (thermo scientific).

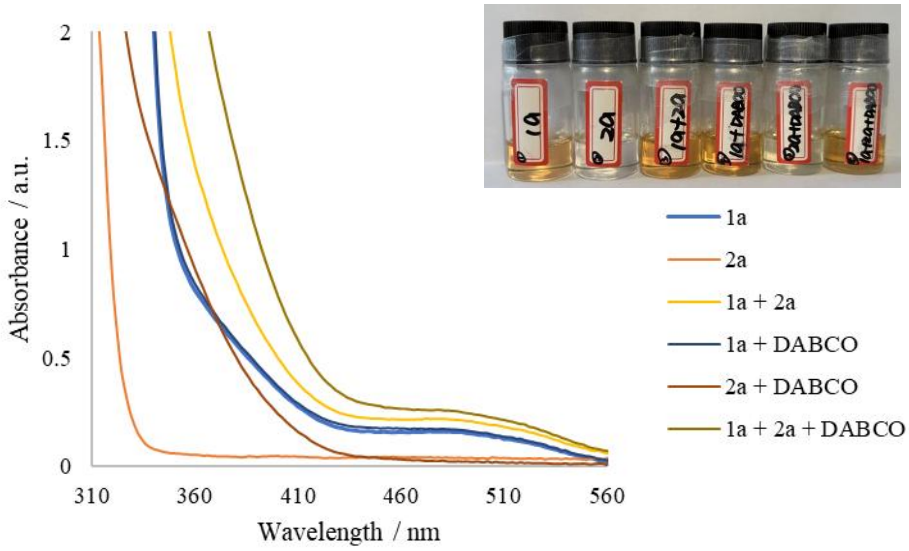


Figure S9. UV-vis absorption spectra

6.6 Electron Paramagnetic Resonance (EPR) Experiment

(1) Settings: EPR spectra were recorded on a Bruker EMXplus X-band spectrometer. EPR spectra was recorded at room temperature on EPR spectrometer operated at 9.86 GHz. Typical spectrometer parameters are shown as follows, Center field set: 3510.00 G; Sweep width: 100.0G; Modulation frequency: 100.00 kHz; Modulation Amplitude: 0.50 G; Conver Time :7.50 ms; Sweep Time: 15.00 s; microwave power: 2.00 mW.

(2) Reaction procedure: To an oven-dried 10 mL sealed tube equipped with a stir bar, was charged with *N*-(pyridin-2-methyl) aniline **1a** (0.1 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (0.15 mmol, 1.5 equiv.), 4CzIPN (5.0 mol%), DABCO (0.2 mmol, 2.0 equiv) and DMSO (1.0 mL). The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs for 10 min using electronic fan to cool the tube.

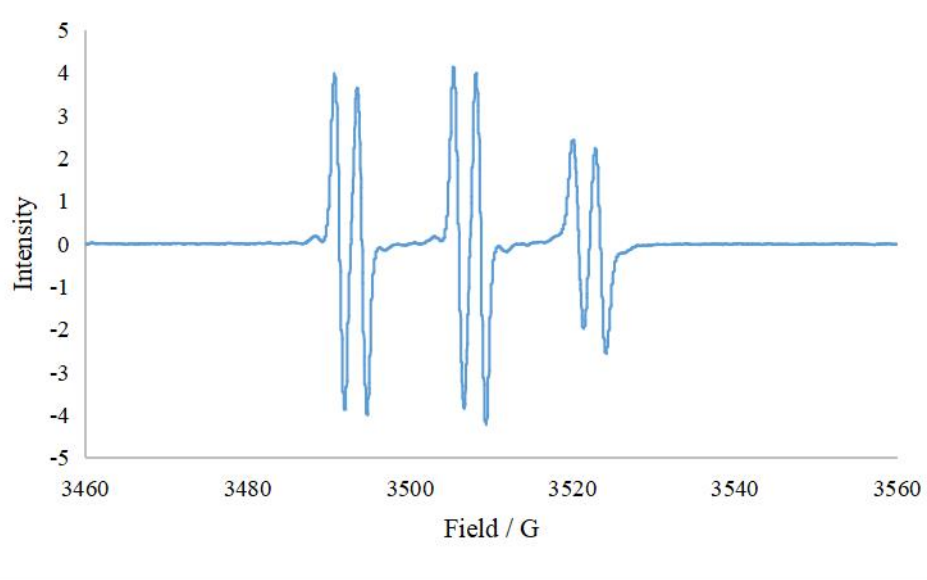
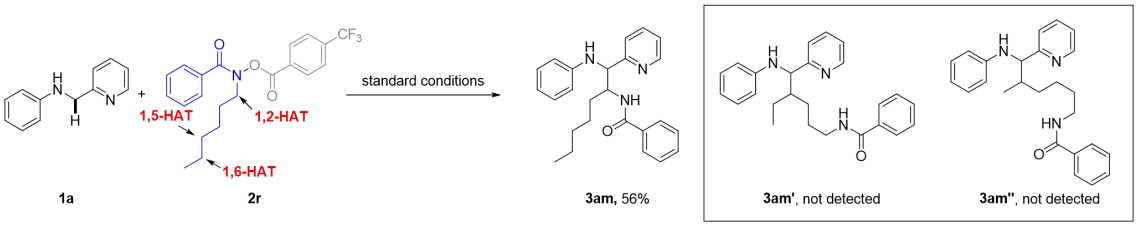


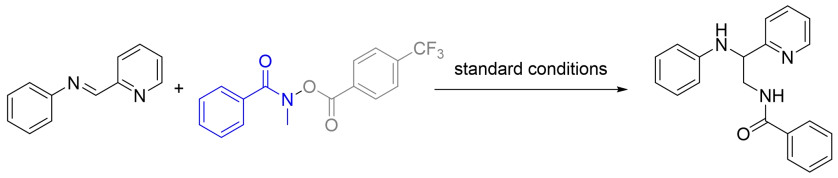
Figure S10. EPR spectrum of the PBN-trapped carbon-centered radical

6.7 Site-selectivity Experiment



To an oven-dried 10 mL sealed tube equipped with a stir bar, was charged with *N*-(pyridin-2-methyl) aniline **1a** (18.4 mg, 0.1 mmol, 1.0 equiv.), hydroxamic acid derivative **2r** (58.1 mg, 0.15 mmol, 1.5 equiv.), 4CzIPN (2.4 mg, 5.0 mol%), DABCO (22.4 mg, 0.2 mmol, 2.0 equiv) and TEMPO (46.9 mg, 0.3 mmol, 3.0 equiv). The tube was evacuated and backfilled with argon (three times), then sealed with rubber stopper and parafilm. Then, anhydrous DMSO (1 mL, 0.1 M) was added using a syringe. The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs for 24 h using electronic fan to cool the tube. After completion of reaction, the resulting mixture was quenched with H_2O (10 mL) and extracted with CH_2Cl_2 (3 x 10 mL). The combined organic layer was washed with brine (10 mL) and then dried over anhydrous Na_2SO_4 and concentrated in *vacuo*. Purification by flash column chromatography on silica gel afforded the *desired product* **3am** (21.7 mg, 56%). No **3am'** or 3**am''** was detected.

6.8 Using (E)-*N*-phenyl-1-(pyridin-2-yl)methanimine and hydroxamic acid derivative 2a reaction under the standard conditions



(E)-*N*-phenyl-1-(pyridin-2-yl)methanimine was prepared according to the literature procedure.[5]

To an oven-dried 10 mL sealed tube equipped with a stir bar, was charged with (E)-*N*-phenyl-1-(pyridin-2-yl)methanimine **1a'** (18.2 mg, 0.1 mmol, 1.0 equiv.), hydroxamic acid derivative **2a** (48.5 mg, 0.15 mmol, 1.5 equiv.), 4CzIPN (2.4 mg, 5.0 mol%), DABCO (22.4 mg, 0.2 mmol, 2.0 equiv) and TEMPO (46.9 mg, 0.3 mmol, 3.0 equiv). The tube was evacuated and backfilled with argon (three times), then sealed with rubber stopper and parafilm. Then, anhydrous DMSO (1 mL, 0.1 M) was added using a syringe. The solution was then stirred at room temperature under the irradiation of 12 W 450nm blue LEDs for 24 h using electronic fan to cool the tube. After 24 h, no *desired product* **3a** was formed by TLC analysis.

7. References

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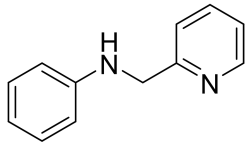
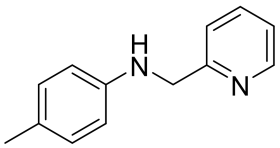
(5) Huang, W.; Zheng, Y.; Keess, S.; Molander, G. A. A General and Modular Approach to BCP Alkylamines via Multicomponent Difunctionalization of [1.1.1]Propellane. *J. Am. Chem. Soc.* **2023**, *145* (9), 5363–5369.

8. Characterization of all products



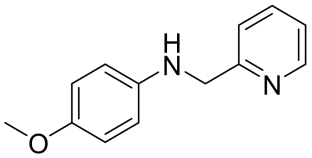
***N*-(pyridin-2-ylmethyl)aniline (1a)**

Rf = 0.28 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (d, *J* = 5.0 Hz, 1H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.34 (d, *J* = 7.9 Hz, 1H), 7.22 – 7.16 (m, 3H), 6.72 (t, *J* = 7.3 Hz, 1H), 6.68 (d, *J* = 7.4 Hz, 2H), 4.47 (s, 2H).



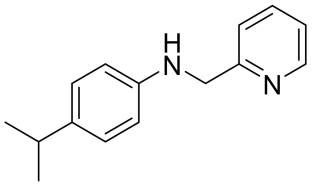
4-methyl-*N*-(pyridin-2-ylmethyl)aniline (1b)

Rf = 0.33 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (d, *J* = 4.9 Hz, 1H), 7.64 (td, *J* = 7.7, 1.8 Hz, 1H), 7.34 (dt, *J* = 7.9, 1.0 Hz, 1H), 7.18 (dd, *J* = 8.1, 5.5 Hz, 1H), 7.08 (t, *J* = 7.7 Hz, 1H), 6.56 (d, *J* = 7.5 Hz, 1H), 6.49 (dd, *J* = 11.6, 3.7 Hz, 2H), 4.46 (s, 2H), 2.28 (s, 3H).



4-methoxy-*N*-(pyridin-2-ylmethyl)aniline (1c)

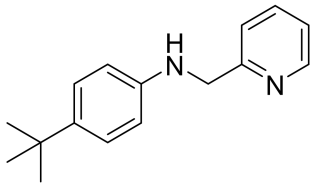
Rf = 0.35 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.58 (dd, *J* = 4.5, 1.4 Hz, 1H), 7.67 – 7.62 (m, 1H), 7.34 (d, *J* = 7.8 Hz, 1H), 7.18 (dd, *J* = 7.8, 5.2 Hz, 1H), 6.80 – 6.76 (m, 2H), 6.66 – 6.62 (m, 2H), 4.42 (s, 2H), 4.36 (s, 1H), 3.74 (s, 3H).



4-isopropyl-*N*-(pyridin-2-ylmethyl)aniline (1d)

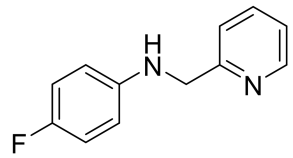
Rf = 0.31 (petroleum ether/ethyl acetate = 5:1). **1H NMR (400 MHz, Chloroform-d)** δ 8.53 (s, 1H), 8.42 (d, J = 6.5 Hz, 1H), 7.60 (d, J = 7.8 Hz, 1H), 7.16 (dd, J = 7.8, 4.9

Hz, 1H), 6.96 (d, J = 8.5 Hz, 2H), 6.49 (d, J = 8.5 Hz, 2H), 4.24 (s, 2H), 3.83 (s, 1H), 2.72 (p, J = 6.9 Hz, 1H), 1.11 (d, J = 6.9 Hz, 6H).



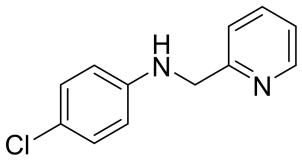
4-(*tert*-butyl)-*N*-(pyridin-2-ylmethyl)aniline (1e)

Rf = 0.38 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.55 (s, 1H), 8.44 (d, *J* = 5.4 Hz, 1H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.18 (dd, *J* = 9.0, 3.7 Hz, 1H), 7.13 (d, *J* = 8.7 Hz, 2H), 6.51 (d, *J* = 8.6 Hz, 2H), 4.26 (s, 2H), 3.74 (s, 1H), 1.19 (s, 9H).



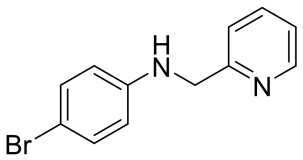
4-fluoro-*N*-(pyridin-2-ylmethyl)aniline (1f)

Rf = 0.21 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.53 (d, *J* = 2.3 Hz, 1H), 8.44 (dd, *J* = 4.8, 1.7 Hz, 1H), 7.60 (dt, *J* = 7.8, 2.1 Hz, 1H), 7.18 (dd, *J* = 8.0, 4.6 Hz, 1H), 6.83 – 6.76 (m, 2H), 6.50 – 6.43 (m, 2H), 4.23 (s, 2H), 3.88 (s, 1H).



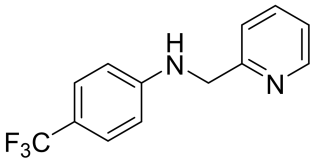
4-chloro-*N*-(pyridin-2-ylmethyl)aniline (1g)

Rf = 0.25 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.58 (d, *J* = 5.8 Hz, 1H), 7.66 (td, *J* = 7.7, 1.8 Hz, 1H), 7.31 (d, *J* = 7.8 Hz, 1H), 7.20 (dd, *J* = 6.4, 4.9 Hz, 1H), 7.14 – 7.10 (m, 2H), 6.61 – 6.57 (m, 2H), 4.64 (s, 1H), 4.43 (s, 2H).



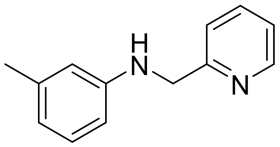
4-bromo-N-(pyridin-2-ylmethyl)aniline (1h)

Rf = 0.25 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (d, *J* = 4.9 Hz, 1H), 7.66 (td, *J* = 7.7, 1.8 Hz, 1H), 7.31 (d, *J* = 8.8 Hz, 1H), 7.26 – 7.19 (m, 3H), 6.57 – 6.52 (m, 2H), 4.65 (s, 1H), 4.43 (s, 2H).



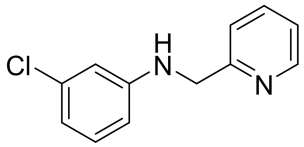
***N*-(pyridin-2-ylmethyl)-4-(trifluoromethyl)aniline (1i)**

Rf = 0.16 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (d, *J* = 4.2 Hz, 1H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.41 (d, *J* = 8.6 Hz, 2H), 7.31 (d, *J* = 7.9 Hz, 1H), 7.21 (dd, *J* = 8.1, 4.3 Hz, 1H), 6.68 (d, *J* = 8.6 Hz, 2H), 5.25 (s, 1H), 4.48 (s, 2H).



3-methyl-*N*-(pyridin-2-ylmethyl)aniline (1j)

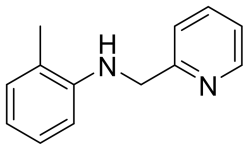
Rf = 0.31 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.54 (s, 1H), 8.44 (d, *J* = 3.2 Hz, 1H), 7.61 (dt, *J* = 7.8, 2.0 Hz, 1H), 7.18 (dd, *J* = 7.9, 4.8 Hz, 1H), 6.99 (t, *J* = 7.7 Hz, 1H), 6.49 (d, *J* = 7.5 Hz, 1H), 6.36 (dd, *J* = 11.5, 3.5 Hz, 2H), 4.27 (s, 2H), 3.65 (d, *J* = 63.8 Hz, 1H), 2.19 (s, 3H).



3-chloro-*N*-(pyridin-2-ylmethyl)aniline (1k)

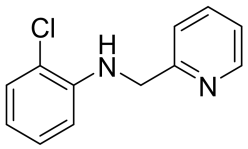
Rf = 0.23 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.58 (d, *J* = 4.9 Hz, 1H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.30 (d, *J* = 7.8 Hz, 1H),

7.22 – 7.17 (m, 1H), 7.07 (t, *J* = 8.0 Hz, 1H), 6.69 – 6.64 (m, 2H), 6.54 (dd, *J* = 7.7, 1.8 Hz, 1H), 4.87 (s, 1H), 4.42 (s, 2H).



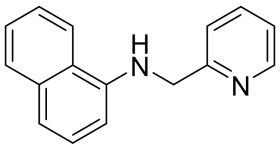
2-methyl-*N*-(pyridin-2-ylmethyl)aniline (1l)

Rf = 0.37 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.62 (d, *J* = 4.1 Hz, 1H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.34 (d, *J* = 7.8 Hz, 1H), 7.22 – 7.18 (m, 1H), 7.12 (t, *J* = 7.1 Hz, 2H), 6.71 (t, *J* = 7.4 Hz, 1H), 6.60 (d, *J* = 8.4 Hz, 1H), 4.53 (s, 2H), 2.28 (s, 3H).



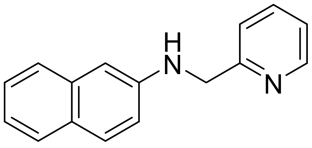
2-chloro-*N*-(pyridin-2-ylmethyl)aniline (1m)

Rf = 0.21 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.51 (d, *J* = 3.4 Hz, 1H), 7.55 (td, *J* = 7.7, 1.8 Hz, 1H), 7.24 – 7.18 (m, 2H), 7.10 (dd, *J* = 7.2, 5.1 Hz, 1H), 7.03 – 6.98 (m, 1H), 6.58 – 6.48 (m, 2H), 5.26 (s, 1H), 4.44 (s, 2H).



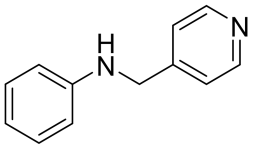
***N*-(pyridin-2-ylmethyl)naphthalen-1-amine (1n)**

Rf = 0.32 (petroleum ether/ethyl acetate = 2:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.63 (d, *J* = 4.9 Hz, 1H), 8.01 – 7.97 (m, 1H), 7.82 – 7.77 (m, 1H), 7.64 (td, *J* = 7.7, 1.8 Hz, 1H), 7.49 – 7.44 (m, 2H), 7.38 – 7.34 (m, 1H), 7.31 (d, *J* = 7.7 Hz, 1H), 7.26 – 7.23 (m, 1H), 7.21 (dd, *J* = 7.5, 4.9 Hz, 1H), 6.58 (d, *J* = 6.4 Hz, 1H), 4.62 (s, 2H).



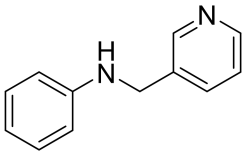
***N*-(pyridin-2-ylmethyl)naphthalen-2-amine (1o)**

Rf = 0.30 (petroleum ether/ethyl acetate = 2:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.61 (d, *J* = 5.0 Hz, 1H), 7.68 – 7.58 (m, 4H), 7.34 (td, *J* = 8.3, 1.4 Hz, 2H), 7.21 – 7.17 (m, 2H), 7.00 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.81 (d, *J* = 2.3 Hz, 1H), 4.73 (s, 1H), 4.56 (s, 2H).



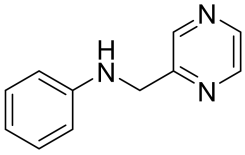
***N*-(pyridin-3-ylmethyl)aniline (1p)**

Rf = 0.28 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.63 (s, 1H), 8.52 (d, *J* = 3.2 Hz, 1H), 7.69 (d, *J* = 7.9 Hz, 1H), 7.26 (dd, *J* = 7.7, 5.0 Hz, 1H), 7.18 (t, *J* = 7.9 Hz, 2H), 6.74 (t, *J* = 7.3 Hz, 1H), 6.63 (d, *J* = 8.0 Hz, 2H), 4.36 (s, 2H), 4.09 (s, 1H).



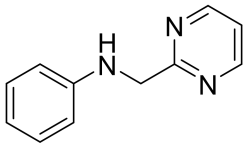
***N*-(pyridin-4-ylmethyl)aniline (1q)**

Rf = 0.25 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.57 – 8.53 (m, 2H), 7.29 (d, *J* = 6.1 Hz, 2H), 7.20 – 7.14 (m, 2H), 6.77 – 6.71 (m, 1H), 6.61 – 6.55 (m, 2H), 4.38 (d, *J* = 4.2 Hz, 2H), 4.23 (s, 1H).



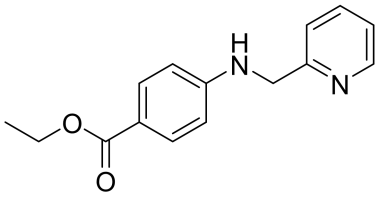
***N*-(pyrazin-2-ylmethyl)aniline (1r)**

Rf = 0.42 (petroleum ether/ethyl acetate = 1:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.65 (s, 1H), 8.56 – 8.54 (m, 1H), 8.48 (d, *J* = 2.6 Hz, 1H), 7.22 – 7.17 (m, 2H), 6.78 – 6.73 (m, 1H), 6.68 (d, *J* = 7.6 Hz, 2H), 4.73 (s, 1H), 4.51 (s, 2H).



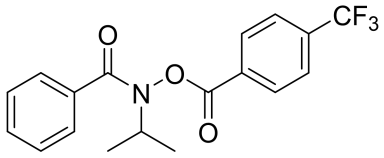
***N*-(pyrimidin-2-ylmethyl)aniline (1s)**

Rf = 0.35 (petroleum ether/ethyl acetate = 1:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.74 (d, *J* = 4.7 Hz, 2H), 7.25 – 7.18 (m, 3H), 6.75 (d, *J* = 8.1 Hz, 3H), 5.09 (s, 1H), 4.58 (s, 2H).



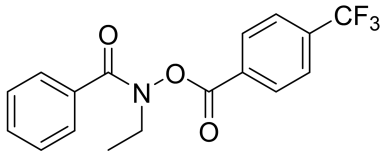
ethyl 4-((pyridin-2-ylmethyl)amino)benzoate (1t)

Yellow oil, Rf = 0.45 (petroleum ether/ethyl acetate = 1:2). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.61 (d, *J* = 2.3 Hz, 1H), 8.53 (d, *J* = 6.5 Hz, 1H), 7.89 – 7.84 (m, 2H), 7.68 – 7.65 (m, 1H), 7.29 – 7.25 (m, 1H), 6.59 (d, *J* = 8.8 Hz, 2H), 4.70 (d, *J* = 5.9 Hz, 1H), 4.42 (d, *J* = 5.2 Hz, 2H), 4.30 (q, *J* = 7.1 Hz, 2H), 1.35 (t, *J* = 7.1 Hz, 3H).



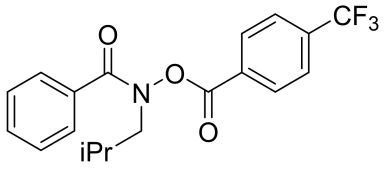
***N*-isopropyl-*N*-((4-(trifluoromethyl)benzoyl)oxy)benzamide (2o)**

Yellow oil, Rf = 0.40 (petroleum ether/ethyl acetate = 10:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.15 (d, *J* = 8.1 Hz, 2H), 7.73 (d, *J* = 8.5 Hz, 2H), 7.66 – 7.61 (m, 2H), 7.46 – 7.36 (m, 3H), 4.66 (p, *J* = 6.6 Hz, 1H), 1.32 (d, *J* = 6.7 Hz, 6H). **^{13}C{^{1}H}NMR (100 MHz, Chloroform-*d*)** δ 169.8, 163.4, 135.3 (q, *J* = 98 Hz), 134.1, 131.0, 130.3, 129.1 (q, *J* = 271 Hz), 128.5, 127.5, 125.7 (q, *J* = 11 Hz), 123.0 (q, *J* = 727 Hz), 53.0, 19.7. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -63.34. **HRMS (ESI-TOF)** m/z: calculated for $C_{18}H_{16}F_3NO_3$ $[M + H]^+$: 352.1155, found 352.1156.



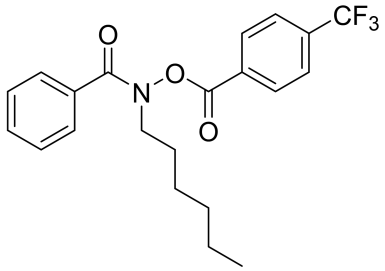
***N*-ethyl-*N*-((4-(trifluoromethyl)benzoyl)oxy)benzamide (2p)**

Yellow oil, Rf = 0.44 (petroleum ether/ethyl acetate = 10:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.07 (d, *J* = 8.1 Hz, 2H), 7.68 (d, *J* = 8.2 Hz, 2H), 7.63 – 7.59 (m, 2H), 7.42 – 7.32 (m, 3H), 3.92 (q, *J* = 7.0 Hz, 2H), 1.31 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H}NMR (100 MHz, Chloroform-*d*)** δ 169.3, 162.3, 134.4 (q, *J* = 98 Hz), 132.6, 130.1, 129.4 (q, *J* = 4 Hz), 129.3, 127.4, 126.6, 124.7 (q, *J* = 8 Hz), 122.4 (q, *J* = 814 Hz), 44.7, 11.4. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -63.35. **HRMS (ESI-TOF)** m/z: calculated for $C_{17}H_{14}F_3NO_3$ $[M + H]^+$: 338.0999, found 338.0993.



***N*-isobutyl-N-((4-(trifluoromethyl)benzoyl)oxy)benzamide (2q)**

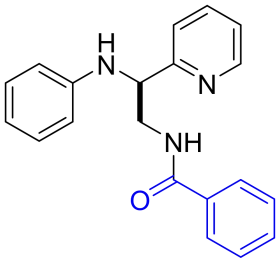
Yellow oil, Rf = 0.41 (petroleum ether/ethyl acetate = 10:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.07 (d, *J* = 8.1 Hz, 2H), 7.70 (d, *J* = 8.2 Hz, 2H), 7.63 – 7.60 (m, 2H), 7.42 – 7.34 (m, 3H), 3.71 (d, *J* = 7.3 Hz, 2H), 2.10 (dt, *J* = 13.7, 6.8 Hz, 1H), 1.02 (d, *J* = 6.7 Hz, 6H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 170.5, 163.2, 135.5 (q, *J* = 98 Hz), 133.7, 130.9, 130.3 (q, *J* = 3 Hz), 130.3, 129.4 (q, *J* = 253 Hz), 128.3, 127.8, 125.7 (q, *J* = 11 Hz), 123.3 (q, *J* = 814 Hz), 57.4, 27.0, 20.0. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -63.34. **HRMS (ESI-TOF)** m/z: calculated for $C_{17}H_{14}F_3NO_3$ $[M + H]^+$: 366.1312, found 366.1314.



***N*-hexyl-N-((4-(trifluoromethyl)benzoyl)oxy)benzamide (2r)**

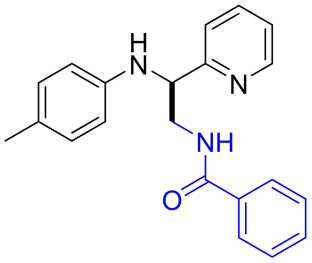
Yellow oil, Rf =0.62 (petroleum ether/ethyl acetate = 10:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.08 (d, *J* = 8.1 Hz, 2H), 7.71 (d, *J* = 8.1 Hz, 2H), 7.61 (d, *J* = 6.6

Hz, 2H), 7.39 (dd, *J* = 13.6, 7.3 Hz, 3H), 3.87 (t, *J* = 7.3 Hz, 2H), 1.73 (p, *J* = 7.3 Hz, 2H), 1.39 (q, *J* = 7.5 Hz, 2H), 1.29 (q, *J* = 3.9 Hz, 4H), 0.87 (t, *J* = 6.8 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 170.3, 163.3, 134.8 (q, *J* = 230 Hz), 133.7, 131.0, 130.9 (q, *J* = 477 Hz),130.3, 128.5, 128.3, 127.7, 125.7 (q, *J* = 11 Hz), 123.4 (q, *J* = 813 Hz), 50.6, 31.4, 27.2, 26.3, 22.5, 14.0.**^{19}F NMR (376 MHz, Chloroform-*d*)** δ -63.35. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{22}F_3NO_3$ $[M + H]^+$: 394.1625, found 394.1623



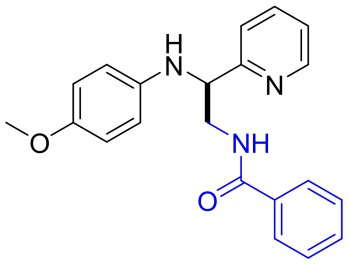
***N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3a)**

Yellow solid (80%, 25.4mg), Rf = 0.35 (petroleum ether/ethyl acetate = 3:1), m.p. 159 - 160 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.57 (s, 1H), 8.42 (dd, *J* = 4.9, 1.6 Hz, 1H), 7.78 – 7.72 (m, 3H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.38 (t, *J* = 7.6 Hz, 2H), 7.29 (d, *J* = 6.3 Hz, 1H), 7.23 (d, *J* = 7.9 Hz, 1H), 7.09 – 7.04 (m, 2H), 6.65 (t, *J* = 7.3 Hz, 1H), 6.47 (d, *J* = 7.6 Hz, 2H), 5.34 (s, 1H), 4.62 (t, *J* = 6.0 Hz, 1H), 3.79 (t, *J* = 6.1 Hz, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.5, 148.8, 148.5, 146.9, 137.1, 134.8, 133.9, 132.0, 129.3, 128.7, 127.2, 124.0, 117.8, 113.4, 57.8, 46.6. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O_2$ $[M + H]^+$: 318.1601, found 318.1610.



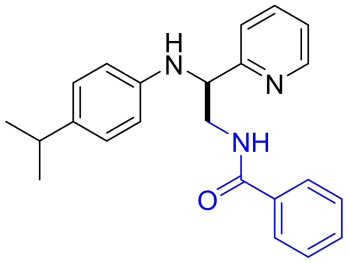
***N*-(2-(pyridin-2-yl)-2-(p-tolylamino)ethyl)benzamide (3b)**

Yellow oil (66%, 21.8mg), Rf = 0.37 (petroleum ether/ethyl acetate = 3:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (d, *J* = 2.3 Hz, 1H), 7.72 (d, *J* = 7.0 Hz, 2H), 7.64 (td, *J* = 7.7, 1.8 Hz, 1H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.46 – 7.38 (m, 3H), 7.22 – 7.17 (m, 1H), 6.93 (d, *J* = 8.1 Hz, 2H), 6.89 (d, *J* = 5.9 Hz, 1H), 6.55 (d, *J* = 8.4 Hz, 2H), 4.76 – 4.72 (m, 1H), 3.97 – 3.86 (m, 2H), 2.19 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.5, 160.3, 149.1, 144.8, 137.4, 134.2, 131.6, 129.8, 128.7, 128.6, 127.0, 122.8, 122.1, 113.8, 60.2, 45.1, 20.4. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O$ $[M + H]^+$: 332.1758, found 332.1759.



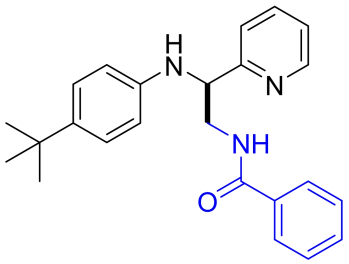
***N*-(2-((4-methoxyphenyl)amino)-2-(pyridin-2-yl)ethyl)benzamide (3c)**

Yellow oil (60%, 20.8mg), Rf = 0.33 (petroleum ether/ethyl acetate = 3:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.61 (d, *J* = 5.1 Hz, 1H), 7.73 (dd, *J* = 7.0, 1.6 Hz, 2H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.52 – 7.46 (m, 2H), 7.45 – 7.38 (m, 3H), 6.89 (t, *J* = 5.5 Hz, 1H), 6.73 – 6.69 (m, 2H), 6.62 – 6.58 (m, 2H), 4.70 (t, *J* = 6.1 Hz, 1H), 3.91 (t, *J* = 6.3 Hz, 2H), 3.70 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.4, 160.2, 152.5, 149.1, 141.1, 137.3, 134.2, 131.6, 128.6, 127.0, 122.8, 122.2, 115.1, 114.9, 60.7, 55.7, 45.1. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O_2$ $[M + H]^+$: 348.1707, found 348.1706.



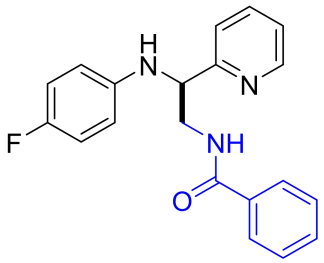
***N*-(2-((4-isopropylphenyl)amino)-2-(pyridin-2-yl)ethyl)benzamide (3d)**

Yellow solid (61%, 21.6mg), Rf = 0.37 (petroleum ether/ethyl acetate = 3:1), m.p. 143 - 144 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.52 (d, *J* = 4.9 Hz, 1H), 7.64 (d, *J* = 7.6 Hz, 2H), 7.58 (t, *J* = 7.8 Hz, 1H), 7.39 (d, *J* = 7.7 Hz, 2H), 7.32 (t, *J* = 7.6 Hz, 2H), 7.20 – 7.09 (m, 1H), 6.91 (d, *J* = 8.0 Hz, 2H), 6.81 (s, 1H), 6.50 (d, *J* = 8.0 Hz, 2H), 4.66 (d, *J* = 6.2 Hz, 1H), 3.83 (dq, *J* = 14.3, 8.5, 7.6 Hz, 2H), 2.68 (p, *J* = 7.1 Hz, 1H), 1.09 (d, *J* = 6.9 Hz, 6H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.6, 160.5, 149.3, 145.3, 138.5, 137.3, 134.3, 131.7, 128.7, 127.2, 127.09, 122.8, 122.1, 113.7, 60.3, 45.3, 33.2, 24.3. **HRMS (ESI-TOF)** m/z: calculated for $C_{23}H_{25}N_3O$ $[M + H]^+$: 360.2071, found 360.2075.



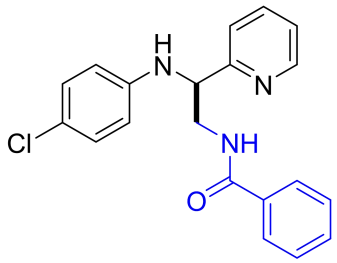
***N*-(2-((4-(*tert*-butyl)phenyl)amino)-2-(pyridin-2-yl)ethyl)benzamide (3e)**

Yellow solid (47%, 17.6mg), Rf = 0.38 (petroleum ether/ethyl acetate = 3:1), m.p. 115 - 116 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.53 (d, *J* = 4.9 Hz, 1H), 7.67 – 7.58 (m, 3H), 7.41 (dd, *J* = 7.5, 4.9 Hz, 2H), 7.33 (t, *J* = 7.5 Hz, 2H), 7.15 (dd, *J* = 7.5, 5.0 Hz, 1H), 7.07 (d, *J* = 8.3 Hz, 2H), 6.79 (t, *J* = 6.2 Hz, 1H), 6.51 (d, *J* = 8.3 Hz, 2H), 4.69 (dd, *J* = 7.4, 4.7 Hz, 1H), 3.99 – 3.85 (m, 2H), 1.16 (s, 9H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.6, 160.5, 149.2, 144.9, 140.8, 137.5, 134.3, 131.8, 128.7, 127.1, 126.2, 122.8, 122.2, 113.4, 60.3, 45.3, 34.0, 31.6. **HRMS (ESI-TOF)** m/z: calculated for $C_{24}H_{27}N_3O$ $[M + H]^+$: 374.2227, found 374.2233.



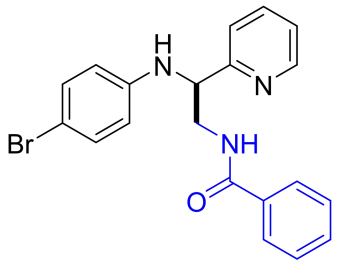
***N*-(2-((4-fluorophenyl)amino)-2-(pyridin-2-yl)ethyl)benzamide (3f)**

Brown solid (84%, 28.2mg), Rf = 0.18 (petroleum ether/ethyl acetate = 3:1), m.p. 121 - 122 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (d, *J* = 4.0 Hz, 1H), 7.73 (d, *J* = 7.2 Hz, 2H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.49 (td, *J* = 6.1, 2.5 Hz, 1H), 7.44 – 7.37 (m, 3H), 7.21 (dd, *J* = 6.8, 4.4 Hz, 1H), 6.95 (t, *J* = 5.9 Hz, 1H), 6.80 (t, *J* = 8.8 Hz, 2H), 6.58 – 6.51 (m, 2H), 4.70 (dd, *J* = 7.1, 4.8 Hz, 1H), 3.98 – 3.84 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.8, 160.2, 156.1 (d, *J* = 234 Hz), 149.4, 143.61 (d, *J* = 2 Hz), 137.5, 134.2, 131.9, 128.8, 127.1, 123.0, 122.2, 115.8 (d, *J* = 23 Hz), 114.5 (d, *J* = 7 Hz), 60.7, 45.2. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -127.58 (dt, *J* = 8.7, 4.3 Hz). **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}FN_3O$ $[M + H]^+$: 336.1507, found 336.1501.



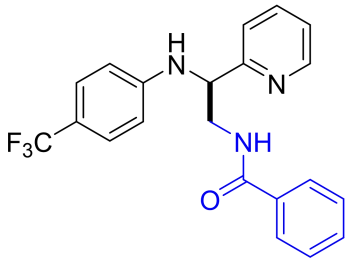
***N*-(2-((4-chlorophenyl)amino)-2-(pyridin-2-yl)ethyl)benzamide (3g)**

Yellow solid (70%, 24.6mg), Rf = 0.22 (petroleum ether/ethyl acetate = 3:1), m.p. 156 - 157 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (dd, *J* = 4.9, 1.7 Hz, 1H), 7.72 (d, *J* = 7.2 Hz, 2H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.53 – 7.43 (m, 2H), 7.43 – 7.39 (m, 2H), 7.23 (dd, *J* = 7.6, 5.0 Hz, 1H), 7.09 – 6.99 (m, 2H), 6.80 (t, *J* = 6.1 Hz, 1H), 6.59 – 6.48 (m, 2H), 4.72 (dd, *J* = 7.2, 4.7 Hz, 1H), 4.00 – 3.83 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.9, 159.9, 149.5, 145.9, 137.4, 134.1, 131.9, 129.2, 128.8, 127.1, 123.0, 122.4, 122.0, 114.7, 60.4, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}ClN_3O$ $[M + H]^+$: 352.1211, found 352.1208.



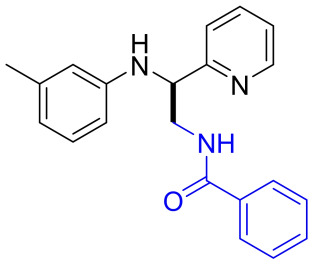
***N*-(2-((4-bromophenyl)amino)-2-(pyridin-2-yl)ethyl)benzamide (3h)**

Yellow solid (67%, 26.6mg), Rf = 0.19 (petroleum ether/ethyl acetate = 3:1), m.p. 142 - 143 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (dd, *J* = 5.0, 1.7 Hz, 1H), 7.73 – 7.70 (m, 2H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.52 – 7.47 (m, 1H), 7.44 – 7.39 (m, 3H), 7.25 – 7.21 (m, 1H), 7.19 – 7.14 (m, 2H), 6.80 (t, *J* = 6.1 Hz, 1H), 6.51 – 6.46 (m, 2H), 4.73 (dd, *J* = 7.2, 4.6 Hz, 1H), 4.00 – 3.83 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.0, 159.8, 149.5, 146.3, 137.5, 134.1, 132.1, 131.9, 128.8, 127.1, 123.0, 122.0, 115.2, 109.5, 60.3, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}BrN_3O$ $[M + H]^+$: 396.0706, found 396.0704.



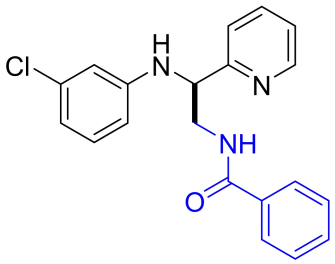
***N*-(2-(pyridin-2-yl)-2-((4-(trifluoromethyl)phenyl)amino)ethyl)benzamide (3i)**

Yellow solid (44%, 16.9mg), Rf = 0.15 (petroleum ether/ethyl acetate = 3:1), m.p. 173 - 174 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.61 (d, *J* = 4.9 Hz, 1H), 7.73 – 7.70 (m, 2H), 7.66 (td, *J* = 7.7, 1.8 Hz, 1H), 7.52 – 7.47 (m, 1H), 7.43 – 7.37 (m, 3H), 7.32 (d, *J* = 8.3 Hz, 2H), 7.23 (dd, *J* = 7.6, 4.8 Hz, 1H), 6.89 (d, *J* = 6.1 Hz, 1H), 6.61 (d, *J* = 8.5 Hz, 2H), 5.96 (s, 1H), 4.81 (dd, *J* = 7.3, 4.6 Hz, 1H), 4.02 (dt, *J* = 13.8, 6.9 Hz, 1H), 3.90 – 3.83 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.2, 159.5, 149.8, 149.6, 137.5, 134.0, 132.0, 128.8, 127.1, 126.7 (q, *J* = 11 Hz), 124.7 (q, *J* = 270 Hz), 123.1, 121.9, 119.2 (q, *J* = 97 Hz), 112.7, 60.1, 45.2. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -61.04. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{18}F_3N_3O$ $[M + H]^+$: 386.1475, found 386.1466.



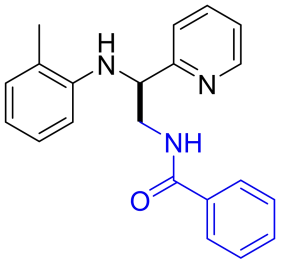
***N*-(2-(pyridin-2-yl)-2-(m-tolylamino)ethyl)benzamidec (3j)**

Yellow solid (78%, 25.9mg), Rf = 0.36 (petroleum ether/ethyl acetate = 3:1), m.p. 120 - 121 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (dd, *J* = 4.9, 0.9 Hz, 1H), 7.74 – 7.71 (m, 2H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.51 – 7.44 (m, 2H), 7.40 (t, *J* = 7.4 Hz, 2H), 7.20 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 7.00 (t, *J* = 7.7 Hz, 1H), 6.89 (t, *J* = 6.0 Hz, 1H), 6.52 – 6.47 (m, 2H), 6.42 (dd, *J* = 8.1, 2.4 Hz, 1H), 4.77 (dd, *J* = 6.9, 5.2 Hz, 1H), 3.96 – 3.87 (m, 2H), 2.21 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.7, 160.4, 149.4, 147.3, 139.2, 137.2, 134.3, 131.7, 129.2, 128.7, 127.1, 122.8, 122.0, 118.8, 114.5, 110.6, 60.0, 45.3, 21.7. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O$ $[M + H]^+$: 332.1758, found 332.1750.



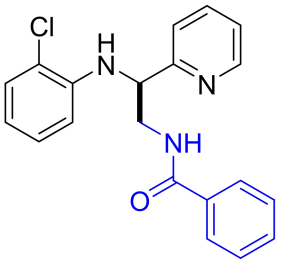
***N*-(2-((3-chlorophenyl)amino)-2-(pyridin-2-yl)ethyl)benzamide (3k)**

Yellow solid (89%, 31.3mg), Rf = 0.21 (petroleum ether/ethyl acetate = 3:1), m.p. 138 - 139 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (d, *J* = 4.8 Hz, 1H), 7.72 (d, *J* = 7.0 Hz, 2H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.44 – 7.37 (m, 3H), 7.22 (ddd, *J* = 7.6, 4.9, 1.3 Hz, 1H), 7.00 (t, *J* = 8.0 Hz, 1H), 6.89 (d, *J* = 6.1 Hz, 1H), 6.62 (dd, *J* = 7.8, 2.0 Hz, 1H), 6.58 (t, *J* = 2.1 Hz, 1H), 6.48 (dd, *J* = 8.2, 2.3 Hz, 1H), 4.74 (dd, *J* = 7.3, 4.6 Hz, 1H), 3.99 – 3.85 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.0, 159.7, 149.5, 148.5, 137.5, 135.1, 134.1, 131.9, 130.3, 128.8, 127.1, 123.0, 122.0, 117.6, 113.3, 111.7, 60.1, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}ClN_3O$ $[M + H]^+$: 352.1211, found 352.1213.



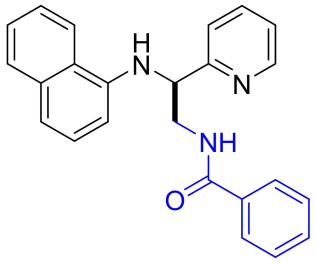
***N*-(2-(pyridin-2-yl)-2-(o-tolylamino)ethyl)benzamide (3l)**

Yellow solid (67%, 22.2mg), Rf = 0.41 (petroleum ether/ethyl acetate = 3:1), m.p. 131 - 132 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.61 (d, *J* = 4.1 Hz, 1H), 7.75 – 7.72 (m, 2H), 7.64 (td, *J* = 7.7, 1.8 Hz, 1H), 7.52 – 7.47 (m, 1H), 7.42 (q, *J* = 7.8, 7.1 Hz, 3H), 7.20 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 7.06 (d, *J* = 6.7 Hz, 1H), 7.00 – 6.95 (m, 1H), 6.82 (t, *J* = 6.3 Hz, 1H), 6.62 (t, *J* = 6.8 Hz, 1H), 6.39 (d, *J* = 7.1 Hz, 1H), 5.42 (s, 1H), 4.79 (dd, *J* = 7.7, 4.3 Hz, 1H), 4.11 – 4.03 (m, 1H), 3.90 (dd, *J* = 8.8, 5.0 Hz, 1H), 2.28 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.1, 160.6, 149.5, 145.4, 137.2, 134.2, 131.8, 130.3, 128.7, 127.1, 127.0, 122.8, 122.7, 121.7, 117.3, 110.6, 60.8, 45.3, 17.9. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O$ $[M + H]^+$: 332.1758, found 332.1767.



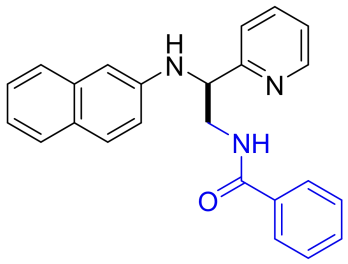
***N*-(2-((2-chlorophenyl)amino)-2-(pyridin-2-yl)ethyl)benzamide (3m)**

Yellow solid (84%, 29.6mg), Rf = 0.17 (petroleum ether/ethyl acetate = 3:1), m.p. 112 - 113 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.63 (dd, *J* = 5.1, 1.7 Hz, 1H), 7.73 (d, *J* = 7.1 Hz, 2H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.44 – 7.38 (m, 3H), 7.26 – 7.21 (m, 2H), 7.02 (t, *J* = 8.5 Hz, 1H), 6.79 (d, *J* = 6.0 Hz, 1H), 6.61 (dd, *J* = 7.9, 5.6 Hz, 2H), 5.82 (s, 1H), 4.85 (t, *J* = 6.1 Hz, 1H), 4.04 (dt, *J* = 13.5, 6.6 Hz, 1H), 3.93 – 3.86 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.6, 159.7, 149.6, 143.1, 137.4, 134.3, 131.7, 129.4, 128.7, 127.8, 127.1, 123.0, 121.9, 119.8, 117.9, 112.3, 59.2, 44.8. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}ClN_3O$ $[M + H]^+$: 352.1211, found 352.1207.



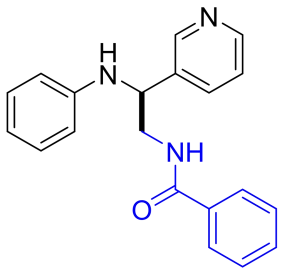
***N*-(2-(naphthalen-1-ylamino)-2-(pyridin-2-yl)ethyl)benzamide (3n)**

Yellow solid (46%, 16.9mg), Rf = 0.15 (petroleum ether/ethyl acetate = 1:1), m.p. 88 - 89 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.63 (d, *J* = 5.0 Hz, 1H), 8.15 (d, *J* = 8.4 Hz, 1H), 7.78 – 7.72 (m, 3H), 7.60 (td, *J* = 7.7, 1.8 Hz, 1H), 7.55 – 7.50 (m, 1H), 7.50 – 7.44 (m, 3H), 7.39 (t, *J* = 7.4 Hz, 2H), 7.22 – 7.15 (m, 3H), 6.89 (t, *J* = 6.3 Hz, 1H), 6.59 (s, 1H), 6.31 (dd, *J* = 5.4, 3.2 Hz, 1H), 4.93 (dd, *J* = 7.7, 3.9 Hz, 1H), 4.23 – 4.14 (m, 1H), 4.04 – 3.98 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.6, 160.2, 149.4, 142.6, 137.2, 134.3, 134.0, 131.8, 128.6, 128.5, 127.1, 126.4, 125.8, 125.0, 123.5, 122.7, 121.6, 120.8, 117.3, 105.0, 61.0, 45.4. **HRMS (ESI-TOF)** m/z: calculated for $C_{24}H_{21}N_3O$ $[M + H]^+$: 368.1758, found 368.1755.



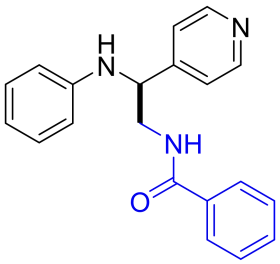
***N*-(2-(naphthalen-2-ylamino)-2-(pyridin-2-yl)ethyl)benzamide (3o)**

Brown solid (55%, 20.2mg), Rf = 0.17 (petroleum ether/ethyl acetate = 1:1), m.p. 123 - 124 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.64 (d, *J* = 4.1 Hz, 1H), 7.73 (d, *J* = 7.0 Hz, 2H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.62 (t, *J* = 8.3 Hz, 2H), 7.52 – 7.46 (m, 3H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.29 (t, *J* = 6.9 Hz, 1H), 7.26 – 7.22 (m, 1H), 7.16 (t, *J* = 7.5 Hz, 1H), 7.00 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.85 (t, *J* = 6.1 Hz, 1H), 6.71 (d, *J* = 2.4 Hz, 1H), 4.94 (dd, *J* = 7.0, 4.8 Hz, 1H), 4.07 – 3.97 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.9, 160.0, 149.2, 144.8, 137.7, 135.0, 134.2, 131.9, 129.2, 128.8, 127.7, 127.7, 127.1, 126.4, 126.1, 123.0, 122.3, 122.2, 118.4, 105.6, 60.09, 45.3. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}ClN_3O$ $[M + H]^+$: 368.1758, found 368.1751.



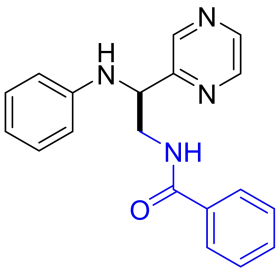
***N*-(2-(phenylamino)-2-(pyridin-3-yl)ethyl)benzamide (3p)**

Brown solid (56%, 17.8mg), Rf = 0.21 (petroleum ether/ethyl acetate = 3:1), m.p. 146 - 147 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (d, *J* = 4.5 Hz, 1H), 7.73 (d, *J* = 7.1 Hz, 2H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.51 – 7.38 (m, 4H), 7.23 – 7.18 (m, 1H), 7.14 – 7.08 (m, 2H), 6.95 (d, *J* = 6.0 Hz, 1H), 6.67 (t, *J* = 7.3 Hz, 1H), 6.62 (d, *J* = 7.5 Hz, 2H), 4.78 (dd, *J* = 7.3, 4.7 Hz, 1H), 4.00 – 3.86 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.8, 160.3, 149.4, 147.3, 137.3, 134.2, 131.8, 129.4, 128.7, 127.1, 122.8, 122.1, 117.8, 113.6, 60.1, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{19}N_3O$ $[M + H]^+$: 318.1601, found 318.1602.



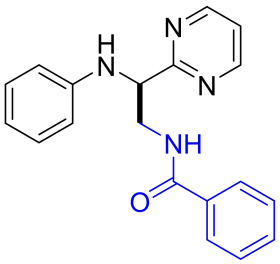
***N*-(2-(phenylamino)-2-(pyridin-4-yl)ethyl)benzamide (3q)**

Yellow solid (48%, 15.2mg), Rf = 0.28 (petroleum ether/ethyl acetate = 3:1), m.p. 100 - 101 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.47 (d, *J* = 5.8 Hz, 2H), 7.78 – 7.73 (m, 2H), 7.51 (t, *J* = 7.4 Hz, 1H), 7.42 (d, *J* = 7.9 Hz, 2H), 7.35 (d, *J* = 6.1 Hz, 2H), 7.10 – 7.04 (m, 2H), 6.97 (d, *J* = 6.3 Hz, 1H), 6.66 (t, *J* = 7.3 Hz, 1H), 6.45 (d, *J* = 7.4 Hz, 2H), 5.39 (s, 1H), 4.58 (dd, *J* = 7.6, 3.7 Hz, 1H), 3.95 – 3.88 (m, 1H), 3.80 – 3.72 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.5, 151.2, 145.0, 146.8, 133.8, 132.1, 129.3, 128.8, 127.2, 122.2, 118.0, 113.4, 59.4, 46.3. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{19}N_3O$ $[M + H]^+$: 318.1601, found 318.1598.



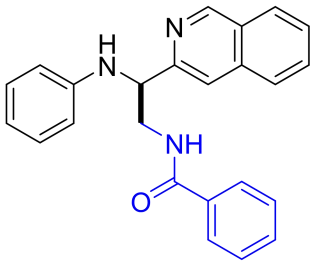
***N*-(2-(phenylamino)-2-(pyrazin-2-yl)ethyl)benzamide (3r)**

Yellow solid (42%, 13.4mg), Rf = 0.11 (petroleum ether/ethyl acetate = 1:1), m.p. 173 - 174 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.71 (s, 1H), 8.55 (s, 1H), 8.50 (d, *J* = 2.5 Hz, 1H), 7.71 (d, *J* = 7.0 Hz, 2H), 7.48 (d, *J* = 7.3 Hz, 1H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.13 (t, *J* = 7.8 Hz, 2H), 6.72 (q, *J* = 7.3, 6.9 Hz, 2H), 6.64 (d, *J* = 8.0 Hz, 2H), 4.85 (dd, *J* = 7.2, 5.0 Hz, 1H), 4.00 – 3.86 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.9, 155.7, 146.8, 144.3, 144.2, 144.1, 134.0, 132.0, 129.5, 128.8, 127.1, 118.5, 113.8, 58.3, 44.9. **HRMS (ESI-TOF)** m/z: calculated for $C_{19}H_{18}N_4O$ $[M + H]^+$: 319.1554, found 319.1559.



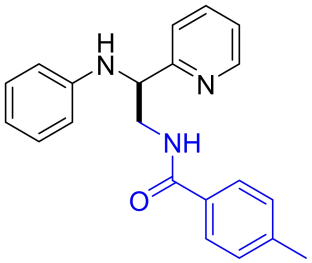
***N*-(2-(phenylamino)-2-(pyrimidin-2-yl)ethyl)benzamide (3s)**

White solid (52%, 16.6mg), Rf = 0.12 (petroleum ether/ethyl acetate = 1:1), m.p. 113 - 114 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.72 (d, *J* = 4.9 Hz, 2H), 7.73 (d, *J* = 6.9 Hz, 2H), 7.49 – 7.45 (m, 1H), 7.39 (t, *J* = 7.4 Hz, 2H), 7.22 – 7.15 (m, 3H), 6.99 (t, *J* = 5.7 Hz, 1H), 6.80 (d, *J* = 7.4 Hz, 2H), 6.73 (t, *J* = 7.3 Hz, 1H), 4.96 (t, *J* = 5.8 Hz, 1H), 4.11 – 4.04 (m, 1H), 3.93 – 3.87 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.0, 167.9, 157.3, 146.9, 134.5, 131.6, 129.5, 128.6, 127.1, 120.0, 118.4, 114,0, 59.1, 43.9. **HRMS (ESI-TOF)** m/z: calculated for $C_{19}H_{18}N_4O$ $[M + H]^+$: 319.1554, found 319.1553.



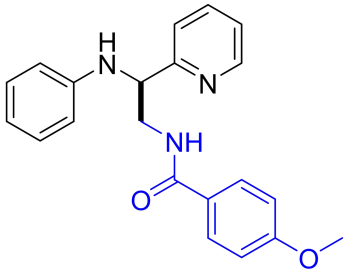
***N*-(2-(isoquinolin-3-yl)-2-(phenylamino)ethyl)benzamide (3t)**

White solid (51%, 17.3mg), Rf=0.22 (petroleum ether/ethyl acetate = 1:1), m.p. 131 - 132 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.16 – 8.09 (m, 2H), 7.82 (d, *J* = 6.7 Hz, 1H), 7.74 (ddd, *J* = 14.2, 7.0, 1.5 Hz, 3H), 7.61 (d, *J* = 8.5 Hz, 1H), 7.55 (t, *J* = 7.0 Hz, 1H), 7.51 – 7.45 (m, 1H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.12 (dd, *J* = 8.5, 7.2 Hz, 2H), 6.97 (t, *J* = 6.0 Hz, 1H), 6.68 (dd, *J* = 8.4, 5.4 Hz, 3H), 4.97 (dd, *J* = 7.0, 4.8 Hz, 1H), 4.10 (dt, *J* = 13.4, 7.0 Hz, 1H), 4.03 – 3.95 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 167.6, 160.0, 146.5, 146.2, 136.4, 133.1, 130.6, 128.9, 128.3, 127.7, 127.6, 126.8, 126.6, 125.9, 125.5, 118.6, 116.8, 112.5, 59.3, 43.9. **HRMS (ESI-TOF)** m/z: calculated for $C_{24}H_{21}N_3O$ $[M + H]^+$: 368.1758, found 368.1755.



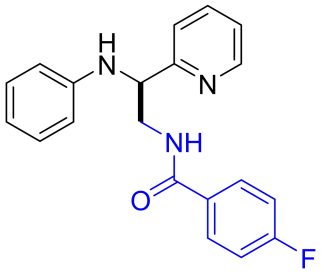
4-Methyl-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3u)

Yellow solid (75%, 24.8mg), Rf = 0.33 (petroleum ether/ethyl acetate = 3:1), m.p. 136 - 137 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (dd, *J* = 5.0, 1.6 Hz, 1H), 7.64 (m, 3H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.21 (d, *J* = 7.8 Hz, 3H), 7.11 (t, *J* = 7.7 Hz, 2H), 6.76 (t, *J* = 6.1 Hz, 1H), 6.64 (m, 3H), 4.77 (dd, *J* = 7.3, 4.8 Hz, 1H), 3.99 – 3.84 (m, 2H), 2.38 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.7, 160.4, 149.4, 147.3, 142.3, 137.3, 131.4, 129.4, 129.4, 127.1, 122.8, 122.1, 117.8, 113.6, 60.2, 45.2, 21.6. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O$ $[M + H]^+$: 332.1758, found 332.1753.



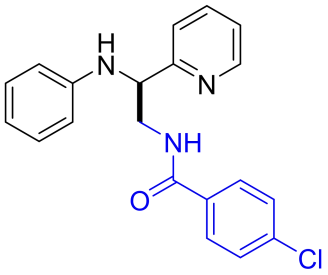
4-Methoxy-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3v)

White solid (84%, 29.2mg), Rf = 0.35 (petroleum ether/ethyl acetate = 3:1), m.p. 183 - 184 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (d, *J* = 4.9 Hz, 1H), 7.69 (d, *J* = 8.8 Hz, 2H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.20 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 7.11 (t, *J* = 7.9 Hz, 2H), 6.91 – 6.87 (m, 2H), 6.77 (t, *J* = 6.1 Hz, 1H), 6.66 (td, *J* = 7.3, 1.1 Hz, 1H), 6.61 (d, *J* = 7.5 Hz, 2H), 4.76 (dd, *J* = 7.3, 4.7 Hz, 1H), 3.99 – 3.85 (m, 2H), 3.83 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, CDCl$_3$)** δ 168.3, 162.4, 160.4, 149.3, 147.3, 137.3, 129.3, 128.9, 126.5, 122.8, 122.1, 117.8, 113.9, 113.6, 60.3, 55.5, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O_2$ $[M + H]^+$: 348.1707, found 348.1704.



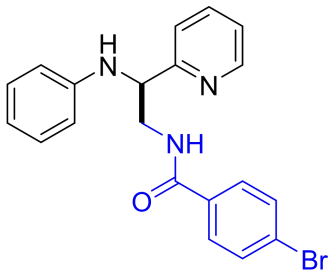
4-Fluoro-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3w)

Yellow oil (64%, 21.5mg), Rf = 0.21 (petroleum ether/ethyl acetate = 3:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (d, *J* = 4.7 Hz, 1H), 7.76 – 7.71 (m, 2H), 7.68 (td, *J* = 7.7, 1.8 Hz, 1H), 7.46 (d, *J* = 7.8 Hz, 1H), 7.23 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 7.16 – 7.04 (m, 4H), 6.85 (t, *J* = 6.2 Hz, 1H), 6.69 (t, *J* = 7.3 Hz, 1H), 6.63 (d, *J* = 7.4 Hz, 2H), 4.79 (dd, *J* = 7.1, 5.0 Hz, 1H), 3.98 – 3.87 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 167.6, 165.0 (d, *J* = 281 Hz) 160.2, 149.2, 147.2, 137.6, 130.4 (d, *J* = 3 Hz), 129.5 (d, *J* = 9 Hz), 123.0, 122.2, 118.1, 115.8 (d, *J* = 21 Hz), 113.7, 59.8, 45.3. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -107.92. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}FN_3O$ $[M + H]^+$: 336.1507, found 336.1515.



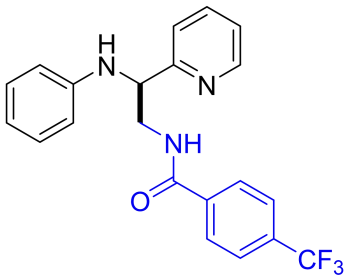
4-Chloro-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3x)

Yellow oil (70%, 24.6mg), Rf = 0.24 (petroleum ether/ethyl acetate = 3:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (dd, *J* = 4.9, 1.8 Hz, 1H), 7.67 (t, *J* = 9.1 Hz, 3H), 7.45 (d, *J* = 7.8 Hz, 1H), 7.37 (d, *J* = 8.5 Hz, 2H), 7.25 – 7.20 (m, 1H), 7.15 – 7.08 (m, 2H), 6.93 (t, *J* = 6.0 Hz, 1H), 6.69 (t, *J* = 7.3 Hz, 1H), 6.62 (d, *J* = 8.0 Hz, 2H), 4.79 (dd, *J* = 7.0, 5.0 Hz, 1H), 3.95 – 3.87 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 167.6, 160.1, 149.2, 147.2, 138.0, 137.6, 132.6, 129.4, 129.0, 128.6, 123.0, 122.2, 118.1, 113.7, 59.7, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}ClN_3O$ $[M + H]^+$: 352.1211, found 352.1216.



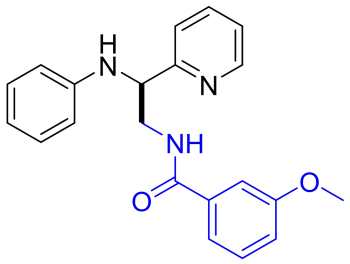
4-Bromo-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3y)

Yellow solid (65%, 25.8mg), Rf = 0.32 (petroleum ether/ethyl acetate = 3:1), m.p. 107 - 108 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.59 (d, *J* = 5.8 Hz, 1H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.61 – 7.51 (m, 4H), 7.44 (d, *J* = 7.8 Hz, 1H), 7.22 (dd, *J* = 7.0, 4.2 Hz, 1H), 7.12 (dd, *J* = 8.5, 7.3 Hz, 2H), 6.95 (d, *J* = 6.0 Hz, 1H), 6.69 (t, *J* = 7.3 Hz, 1H), 6.62 (d, *J* = 7.4 Hz, 2H), 4.78 (dd, *J* = 7.0, 5.1 Hz, 1H), 3.96 – 3.86 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 167.6, 160.1, 149.3, 147.1, 137.6, 133.1, 132.0, 129.5, 128.7, 126.5, 123.0, 122.2, 118.1, 113.7, 59.6, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}BrN_3O$ $[M + H]^+$: 396.0706, found 396.0705.



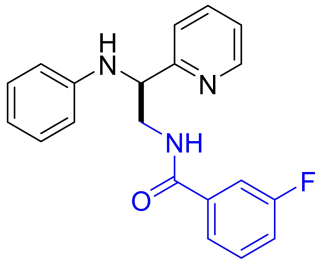
***N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)-4-(trifluoromethyl)benzamide (3z)**

Yellow oil (52%, 20.0mg), Rf = 0.18 (petroleum ether/ethyl acetate = 3:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (d, *J* = 3.2 Hz, 1H), 7.83 (d, *J* = 8.1 Hz, 2H), 7.71 – 7.64 (m, 3H), 7.44 (d, *J* = 7.8 Hz, 1H), 7.23 (dd, *J* = 6.9, 4.3 Hz, 1H), 7.16 – 7.09 (m, 2H), 7.00 (t, *J* = 6.0 Hz, 1H), 6.70 (t, *J* = 7.3 Hz, 1H), 6.64 (d, *J* = 8.0 Hz, 2H), 4.80 (t, *J* = 6.0 Hz, 1H), 3.94 (td, *J* = 6.0, 3.3 Hz, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 167.3, 160.0, 149.5, 147.1, 137.6, 137.4, 133.5 (d, *J* = 77 Hz) 129.5, 128.0, 127.6, 125.8 (q, *J* = 11 Hz), 123.8 (q, *J* = 272 Hz), 123.0, 122.2, 118.2, 113.7, 59.5, 45.3. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -62.97**. HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{18}F_3N_3O$ $[M + H]^+$: 386.1475, found 386.1476.



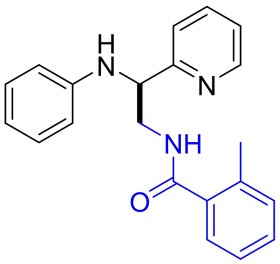
3-Methoxy-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3aa)

Yellow oil (80%, 27.8mg), Rf = 0.39 (petroleum ether/ethyl acetate = 3:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.52 (dd, *J* = 5.4, 1.4 Hz, 1H), 7.59 (td, *J* = 7.6, 1.8 Hz, 1H), 7.38 (d, *J* = 7.8 Hz, 1H), 7.26 – 7.24 (m, 1H), 7.22 – 7.18 (m, 1H), 7.16 – 7.11 (m, 2H), 7.06 – 7.01 (m, 2H), 6.94 (dd, *J* = 8.2, 2.4 Hz, 1H), 6.78 (t, *J* = 6.1 Hz, 1H), 6.60 (t, *J* = 7.3 Hz, 1H), 6.55 (d, *J* = 7.5 Hz, 2H), 4.71 (dd, *J* = 7.1, 4.9 Hz, 1H), 3.91 – 3.78 (m, 2H), 3.75 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.6, 160.2, 159.9, 149.3, 147.2, 137.4, 135.7, 129.7, 129.4, 122.9, 122.2, 118.9, 118.0, 117.9, 113.6, 112.4, 59.9, 55.6, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O_2$ $[M + H]^+$: 348.1707, found 348.1708.



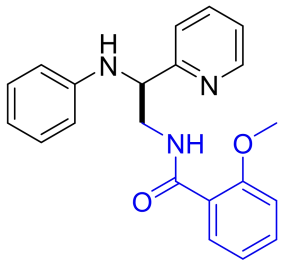
3-Fluoro-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3ab)

White solid (58%, 19.5mg), Rf = 0.25 (petroleum ether/ethyl acetate = 3:1), m.p. 142 -143 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (d, *J* = 4.8 Hz, 1H), 7.66 (td, *J* = 7.7, 1.8 Hz, 1H), 7.48 – 7.43 (m, 3H), 7.37 (td, *J* = 8.0, 5.5 Hz, 1H), 7.24 – 7.16 (m, 2H), 7.12 (dd, *J* = 8.6, 7.2 Hz, 2H), 6.96 (t, *J* = 5.9 Hz, 1H), 6.69 (t, *J* = 7.4 Hz, 1H), 6.63 (d, *J* = 7.3 Hz, 2H), 4.79 (dd, *J* = 7.0, 5.0 Hz, 1H), 3.98 – 3.87 (m, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 167.3 (d, *J* = 2 Hz), 164.1, 160.9 (d, *J* = 246 Hz), 149.4, 147.2, 137.4, 136.6 (d, *J* = 7 Hz), 130.3 (d, *J* = 8 Hz), 129.4, 122.9, 122.5 (d, *J* = 3 Hz), 122.1, 118.7 (d, *J* = 21 Hz), 118.0, 114.6 (d, *J* = 23 Hz), 113.7, 59.6, 45.2. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -111.71. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}FN_3O$ $[M + H]^+$: 336.1507, found 336.1499.



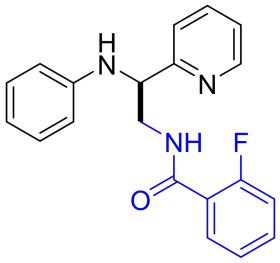
2-Methyl-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3ac)

Yellow solid (67%, 22.2mg), Rf = 0.42 (petroleum ether/ethyl acetate = 3:1), m.p. 129 - 130 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.56 (d, *J* = 3.3 Hz, 1H), 7.67 (td, *J* = 7.7, 1.8 Hz, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.31 – 7.25 (m, 2H), 7.21 (dd, *J* = 19.5, 8.1 Hz, 3H), 7.17 – 7.09 (m, 3H), 6.68 (t, *J* = 7.3 Hz, 1H), 6.61 (d, *J* = 7.5 Hz, 2H), 6.37 (t, *J* = 6.3 Hz, 1H), 4.77 (dd, *J* = 7.5, 4.4 Hz, 1H), 4.03 – 3.84 (m, 2H), 2.39 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 171.5, 160.1, 149.2, 147.2, 137.5, 136.3, 136.0, 131.2, 130.2, 129.4, 126.8, 125.9, 122.8, 122.1, 117.8, 113.5, 60.3, 44.9, 19.9. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O$ $[M + H]^+$: 332.1758, found 332.1753.



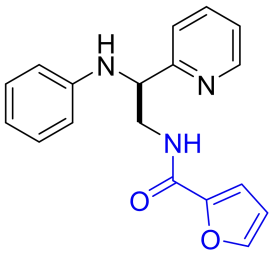
4-Methyl-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3ad)

Yellow oil (73%, 25.4mg), Rf = 0.37 (petroleum ether/ethyl acetate = 3:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.63 (d, *J* = 4.9 Hz, 1H), 8.29 (t, *J* = 6.1 Hz, 1H), 8.23 (dd, *J* = 7.8, 1.9 Hz, 1H), 7.64 (td, *J* = 7.7, 1.8 Hz, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.46 – 7.40 (m, 1H), 7.20 (dd, *J* = 8.7, 4.8 Hz, 1H), 7.11 – 7.05 (m, 3H), 6.92 (d, *J* = 9.3 Hz, 1H), 6.64 (t, *J* = 7.3 Hz, 1H), 6.58 (d, *J* = 7.4 Hz, 2H), 4.74 (dd, *J* = 8.1, 4.2 Hz, 1H), 4.05 (ddd, *J* = 14.3, 8.2, 6.4 Hz, 1H), 3.85 (ddd, *J* = 13.8, 6.0, 4.2 Hz, 1H), 3.79 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 166.9, 160.8, 157.6, 149.4, 147.5, 137.1, 133.1, 132.3, 129.2, 122.5, 121.8, 121.3, 121.1, 117.4, 113.4, 111.4, 61.3, 55.8, 45.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O_2$ $[M + H]^+$: 348.1707, found 348.1702.



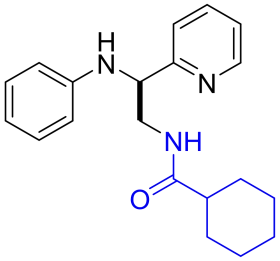
2-Fluoro-*N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)benzamide (3ae)

Yellow solid (57%, 19.1mg), Rf = 0.19 (petroleum ether/ethyl acetate = 3:1), m.p. 140 - 141 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.62 (d, *J* = 4.7 Hz, 1H), 8.09 (td, *J* = 7.9, 1.9 Hz, 1H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.49 – 7.43 (m, 2H), 7.27 – 7.19 (m, 3H), 7.14 – 7.05 (m, 3H), 6.67 (t, *J* = 7.3 Hz, 1H), 6.62 (d, *J* = 7.5 Hz, 2H), 5.24 (s, 1H), 4.78 (dd, *J* = 7.4, 4.7 Hz, 1H), 4.05 (dt, *J* = 12.1, 6.0 Hz, 1H), 3.91 – 3.85 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 164.7 (d, *J* = 4 Hz), 160.7 (d, *J* = 246 Hz), 159.5, 149.5, 147.2, 137.3, 133.6 (d, *J* = 10 Hz), 132.1 (d, *J* = 2 Hz), 129.3, 124.9 (d, *J* = 4 Hz), 122.0, 120.8 (d, *J* = 11 Hz), 117.8, 116.2 (d, *J* = 24 Hz), 113.6, 60.3, 45.2. **^{19}F NMR (376 MHz, Chloroform-*d*)** δ -113.29. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{18}FN_3O$ $[M + H]^+$: 336.1507, found 336.1513.



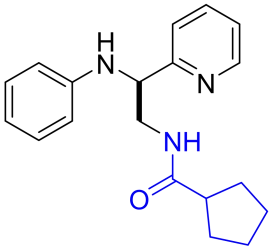
***N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)furan-2-carboxamide (3af)**

Yellow solid (54%, 17.5mg), Rf = 0.17 (petroleum ether/ethyl acetate = 3:1), m.p. 131 - 132 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.61 (d, *J* = 4.8 Hz, 1H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.46 – 7.39 (m, 2H), 7.21 (dd, *J* = 8.2, 5.4 Hz, 1H), 7.14 – 7.08 (m, 3H), 6.89 (t, *J* = 6.3 Hz, 1H), 6.67 (t, *J* = 7.4 Hz, 1H), 6.61 (d, *J* = 8.7 Hz, 2H), 6.48 (dd, *J* = 3.5, 1.8 Hz, 1H), 4.74 (dd, *J* = 7.5, 4.6 Hz, 1H), 3.99 – 3.96 (m, 1H), 3.88 – 3.80 (m, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 160.2, 159.7, 149.5, 147.7, 147.2, 144.3, 137.3, 129.3, 122.8, 122.01 117.8, 114.7, 113.6, 112.3, 60.3, 44.4. **HRMS (ESI-TOF)** m/z: calculated for $C_{18}H_{17}N_3O_2$ $[M + H]^+$: 308.1394, found 308.1393.



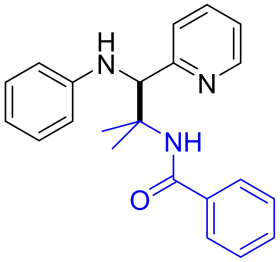
***N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)cyclohexanecarboxamide (3ag)**

White solid (77%, 24.9mg), Rf = 0.22 (petroleum ether/ethyl acetate = 2:1), m.p. 178 - 179 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.58 (d, *J* = 4.9 Hz, 1H), 7.64 (td, *J* = 7.7, 1.8 Hz, 1H), 7.41 (d, *J* = 7.8 Hz, 1H), 7.19 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 7.11 (dd, *J* = 8.5, 7.3 Hz, 2H), 6.66 (t, *J* = 7.4 Hz, 1H), 6.57 (d, *J* = 7.4 Hz, 2H), 5.98 (t, *J* = 6.2 Hz, 1H), 4.63 (dd, *J* = 7.5, 4.5 Hz, 1H), 3.80 – 3.73 (m, 1H), 3.69 – 3.62 (m, 1H), 2.04 (tt, *J* = 11.8, 3.3 Hz, 1H), 1.83 – 1.73 (m, 4H), 1.65 (s, 1H), 1.44 – 1.34 (m, 2H), 1.26 – 1.19 (m, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 177.7, 160.4, 149.3, 147.4, 137.2, 129.3, 122.7, 122.0, 117.7, 113.5, 60.5, 45.5, 44.6, 29.7, 25.8, 25.8, 25.7. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{25}N_3O$ $[M + H]^+$: 324.2071, found 324.2083.



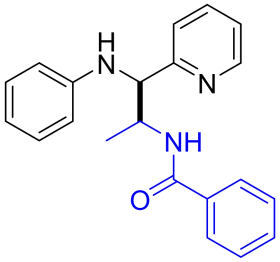
***N*-(2-(phenylamino)-2-(pyridin-2-yl)ethyl)cyclopentanecarboxamide (3ah)**

White solid (82%, 25.4mg), Rf = 0.14 (petroleum ether/ethyl acetate = 2:1), m.p. 158 - 159 ℃. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.58 (d, *J* = 3.4 Hz, 1H), 7.64 (td, *J* = 7.7, 1.8 Hz, 1H), 7.41 (d, *J* = 7.8 Hz, 1H), 7.20 (dd, *J* = 7.5, 4.8 Hz, 1H), 7.14 – 7.08 (m, 2H), 6.66 (t, *J* = 7.3 Hz, 1H), 6.57 (d, *J* = 8.0 Hz, 2H), 5.97 (t, *J* = 6.2 Hz, 1H), 4.64 (dd, *J* = 7.6, 4.5 Hz, 1H), 3.81 – 3.73 (m, 1H), 3.69 – 3.63 (m, 1H), 2.52 – 2.43 (m, 1H), 1.76 (d, *J* = 35.1 Hz, 6H), 1.54 (t, *J* = 4.2 Hz, 2H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 177.9, 160.3, 149.3, 147.4, 137.3, 129.3, 122.7, 122.0, 117.6, 113.4, 60.5, 45.9, 44.8, 30.6, 30.6, 26.0, 26.0. **HRMS (ESI-TOF)** m/z: calculated for $C_{19}H_{23}N_3O$ $[M + H]^+$: 310.1914, found 310.1915.



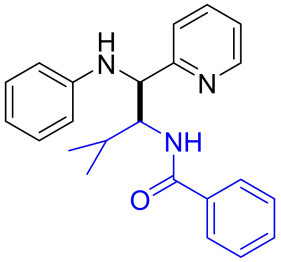
***N*-(2-methyl-1-(phenylamino)-1-(pyridin-2-yl)propan-2-yl)benzamide (3ai)**

Yellow solid (74%, 25.6mg), Rf = 0.36 (petroleum ether/ethyl acetate = 3:1), m.p. 167 - 168 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.61 (d, *J* = 3.3 Hz, 1H), 7.77 (d, *J* = 7.1 Hz, 2H), 7.61 (td, *J* = 7.7, 1.8 Hz, 1H), 7.51 – 7.33 (m, 5H), 7.20 (dd, *J* = 7.4, 5.2 Hz, 1H), 7.11 (t, 2H), 6.66 (dd, *J* = 17.4, 7.7 Hz, 3H), 4.82 (s, 1H), 1.68 (s, 3H), 1.53 (s, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 167.8, 159.8, 148.8, 147.7, 136.8, 135.7, 131.4, 129.4, 128.7, 127.0, 124.2, 122.8, 117.8, 113.8, 65.5, 58.1, 25.1, 24.3. **HRMS (ESI-TOF)** m/z: calculated for $C_{22}H_{23}N_3O$ $[M + H]^+$: 346.1914, found 346.1916.



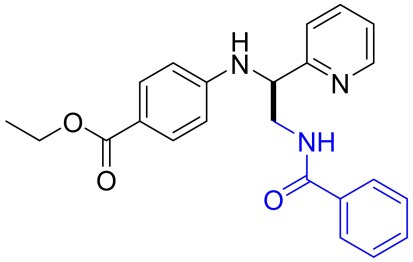
***N*-(1-(phenylamino)-1-(pyridin-2-yl)propan-2-yl)benzamide (3aj)**

Yellow solid (86%, 28.5mg), Rf = 0.35 (petroleum ether/ethyl acetate = 3:1), m.p. 143 - 144 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.60 (d, *J* = 3.2 Hz, 1H), 7.78 (d, *J* = 6.9 Hz, 2H), 7.69 (td, *J* = 7.7, 1.8 Hz, 1H), 7.52 – 7.41 (m, 4H), 7.26 – 7.21 (m, 1H), 7.13 (t, 2H), 6.91 (d, *J* = 7.6 Hz, 1H), 6.72 – 6.65 (m, 3H), 4.74 (d, *J* = 5.8 Hz, 1H), 4.70 – 4.65 (m, 1H), 1.20 (d, *J* = 6.6 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 167.7, 159.9, 148.5, 147.2, 137.5, 134.5, 131.7, 129.4, 128.7, 127.1, 122.9, 122.7, 117.8, 113.6, 62.8, 49.9, 17.2. **HRMS (ESI-TOF)** m/z: calculated for $C_{21}H_{21}N_3O$ $[M + H]^+$: 332.1758, found 332.1752.



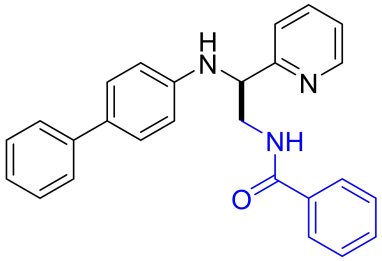
(3-methyl-1-(phenylamino)-1-(pyridin-2-yl)butan-2-yl)benzamide (3ak)

Yellow solid (71%, 25.5mg), Rf = 0.26 (petroleum ether/ethyl acetate = 3:1), m.p. 174 - 175 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.55 (d, *J* = 4.3 Hz, 1H), 7.70 (d, *J* = 7.2 Hz, 2H), 7.63 (td, *J* = 7.7, 1.8 Hz, 1H), 7.51 – 7.39 (m, 4H), 7.16 (dd, *J* = 7.3, 5.1 Hz, 1H), 7.08 (t, 2H), 6.65 – 6.58 (m, 3H), 6.44 (d, *J* = 9.5 Hz, 1H), 4.72 (d, *J* = 7.2 Hz, 1H), 4.41 – 4.32 (m, 1H), 1.92 (td, 1H), 1.03 (dd, *J* = 6.8, 3.6 Hz, 6H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.0, 161.3, 148.9, 147.6, 137.2, 134.7, 131.7, 129.3, 128.8, 127.0, 122.6, 121.9, 117.5, 113.4, 62.3, 60.2, 28.5, 20.9, 17.6. **HRMS (ESI-TOF)** m/z: calculated for $C_{23}H_{25}N_3O$ $[M + H]^+$: 360.2071, found 360.2073.



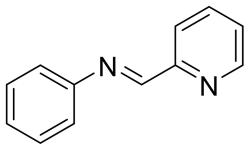
Ethyl 4-((2-benzamido-1-(pyridin-2-yl)ethyl)amino)benzoate (3al)

Yellow oil (72%, 28.0mg), Rf = 0.23 (petroleum ether/ethyl acetate = 1:2). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.43 (s, 1H), 8.31 (s, 1H), 7.69 (d, *J* = 7.7 Hz, 2H), 7.65 (d, *J* = 8.4 Hz, 2H), 7.59 (d, *J* = 8.0 Hz, 1H), 7.53 (t, *J* = 6.3 Hz, 1H), 7.39 (t, *J* = 7.4 Hz, 1H), 7.28 (t, *J* = 7.6 Hz, 2H), 7.16 – 7.11 (m, 1H), 6.31 (d, *J* = 8.5 Hz, 2H), 6.22 (s, 1H), 4.58 (s, 1H), 4.16 (q, *J* = 7.1 Hz, 2H), 3.74 (t, *J* = 6.0 Hz, 2H), 1.21 (t, *J* = 7.1 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 169.9, 167.1, 150.8, 148.9, 148.3, 136.2, 134.7, 133.6, 132.1, 131.4, 128.7, 127.2, 124.1, 118.9, 112.3, 60.4, 57.7, 46.5, 14.5. **HRMS (ESI-TOF)** m/z: calculated for $C_{23}H_{23}N_3O_3$ $[M + H]^+$: 390.1812, found 390.1813.



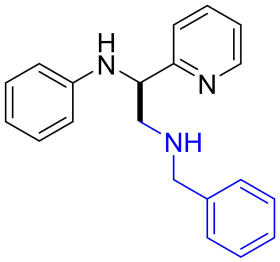
***N*-(2-([1,1'-biphenyl]-4-ylamino)-2-(pyridin-2-yl)ethyl)benzamide (3an)**

Yellow oil (31%, 6.1mg), Rf = 0.17 (petroleum ether/ethyl acetate = 1:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.73 (s, 1H), 8.55 (d, *J* = 4.8 Hz, 1H), 7.83 (d, *J* = 7.9 Hz, 1H), 7.75 (d, *J* = 7.0 Hz, 2H), 7.55 – 7.41 (m, 6H), 7.35 (t, *J* = 7.8 Hz, 5H), 7.24 (d, *J* = 7.3 Hz, 1H), 6.65 – 6.55 (m, 3H), 4.71 (dd, *J* = 7.6, 4.3 Hz, 1H), 3.93 – 3.82 (m, 2H). **^{13}C{^{1}H} NMR (101 MHz, Chloroform-*d*)** δ 169.4, 149.1, 148.5, 146.2, 141.0, 136.7, 134.7, 133.7, 132.0, 130.8, 128.7, 128.6, 127.9, 127.0, 126.3, 126.2, 124.0, 113.6, 58.0, 46.6. **HRMS (ESI-TOF)** m/z: calculated for $C_{26}H_{23}N_3O$ $[M + H]^+$: 394.1914, found 394.1911.



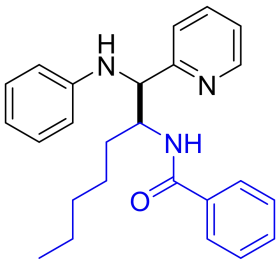
(E)-*N*-phenyl-1-(pyridin-2-yl)methanimine (1a')

Yellow oil, Rf = 0.22 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.68 (d, *J* = 4.9 Hz, 1H), 8.60 (s, 1H), 8.18 (d, *J* = 7.9 Hz, 1H), 7.76 (td, *J* = 7.7, 1.8 Hz, 1H), 7.43 – 7.37 (m, 2H), 7.33 – 7.24 (m, 4H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 160.6, 154.6, 151.0, 149.7, 136.7, 129.3, 126.8, 125.2, 121.9, 121.1. **HRMS (ESI-TOF)** m/z: calculated for $C_{12}H_{10}N_2$ $[M + H]^+$: 183.0917, found 183.0908.



***N*^{2}-benzyl-*N*^{1}-phenyl-1-(pyridin-2-yl)ethane-1,2-diamine (4a)**

Whtie solid (86%, 39.1mg), Rf = 0.32 (petroleum ether/ethyl acetate = 2:1), m.p. 120 - 121 °C. **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.50 (d, *J* = 3.3 Hz, 1H), 7.53 (td, *J* = 7.7, 1.8 Hz, 1H), 7.31 (d, *J* = 7.8 Hz, 1H), 7.23 (d, *J* = 6.5 Hz, 4H), 7.18 – 7.15 (m, 1H), 7.08 (dd, *J* = 7.5, 4.9 Hz, 1H), 7.05 – 6.99 (m, 2H), 6.59 (t, *J* = 7.3 Hz, 1H), 6.50 (d, *J* = 8.0 Hz, 2H), 5.02 (s, 1H), 4.52 (dd, *J* = 7.6, 4.7 Hz, 1H), 3.74 (d, *J* = 2.9 Hz, 2H), 3.08 (dd, *J* = 12.0, 4.7 Hz, 1H), 2.93 (dd, *J* = 12.0, 7.6 Hz, 1H), 1.92 (s, 1H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 161.5, 149.4, 147.6, 139.7, 136.9, 129.2, 128.5, 128.2, 127.2, 122.3, 121.4, 117.7, 113.8, 58.8, 54.0, 53.6. **HRMS (ESI-TOF)** m/z: calculated for $C_{20}H_{21}N_3$ $[M + H]^+$: 304.1808, found 304.1879.

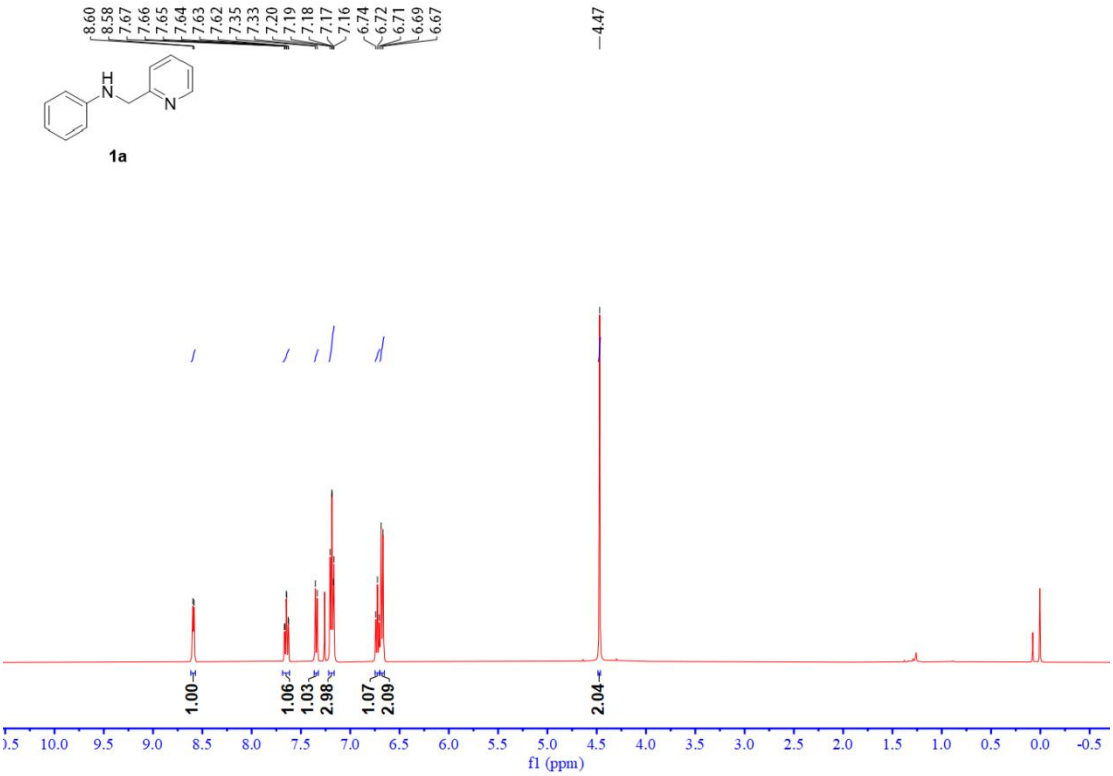


***N*-1-(phenylamino)-1-(pyridin-2-yl)heptan-2-yl)benzamide (3am)**

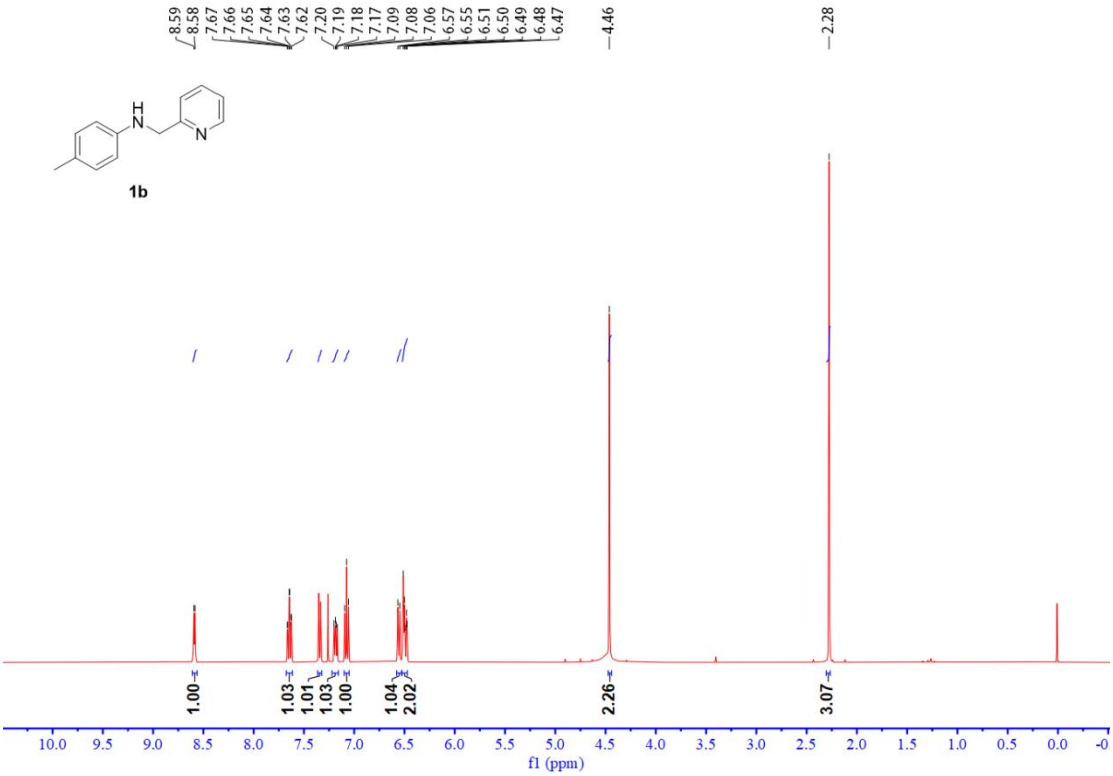
Colerless oil (56%, 21.7mg), Rf = 0.57 (petroleum ether/ethyl acetate = 5:1). **^{1}H NMR (400 MHz, Chloroform-*d*)** δ 8.58 (d, *J* = 4.2 Hz, 1H), 7.75 (d, *J* = 7.1 Hz, 2H), 7.63 (td, *J* = 7.7, 1.9 Hz, 1H), 7.49 (t, 1H), 7.47 – 7.36 (m, 3H), 7.21 – 7.09 (m, 3H), 6.76 – 6.65 (m, 3H), 5.43 (s, 1H), 4.71 (d, *J* = 5.8 Hz, 1H), 4.61 – 4.52 (m, 1H), 1.74 – 1.62 (m, 1H), 1.43 – 1.17 (m, 7H), 0.82 (q, *J* = 6.1, 5.4 Hz, 3H). **^{13}C{^{1}H} NMR (100 MHz, Chloroform-*d*)** δ 168.1, 160.2, 148.8, 147.5, 136.9, 134.6, 131.5, 129.3, 128.6, 127.0, 122.5, 122.2, 117.5, 113.4, 62.7, 54.5, 31.6, 31.2, 26.0, 22.5, 14.0. **HRMS (ESI-TOF)** m/z: calculated for $C_{25}H_{29}N_3O$ $[M + H]^+$: 388.2384, found 388.2389.

9. NMR spectra

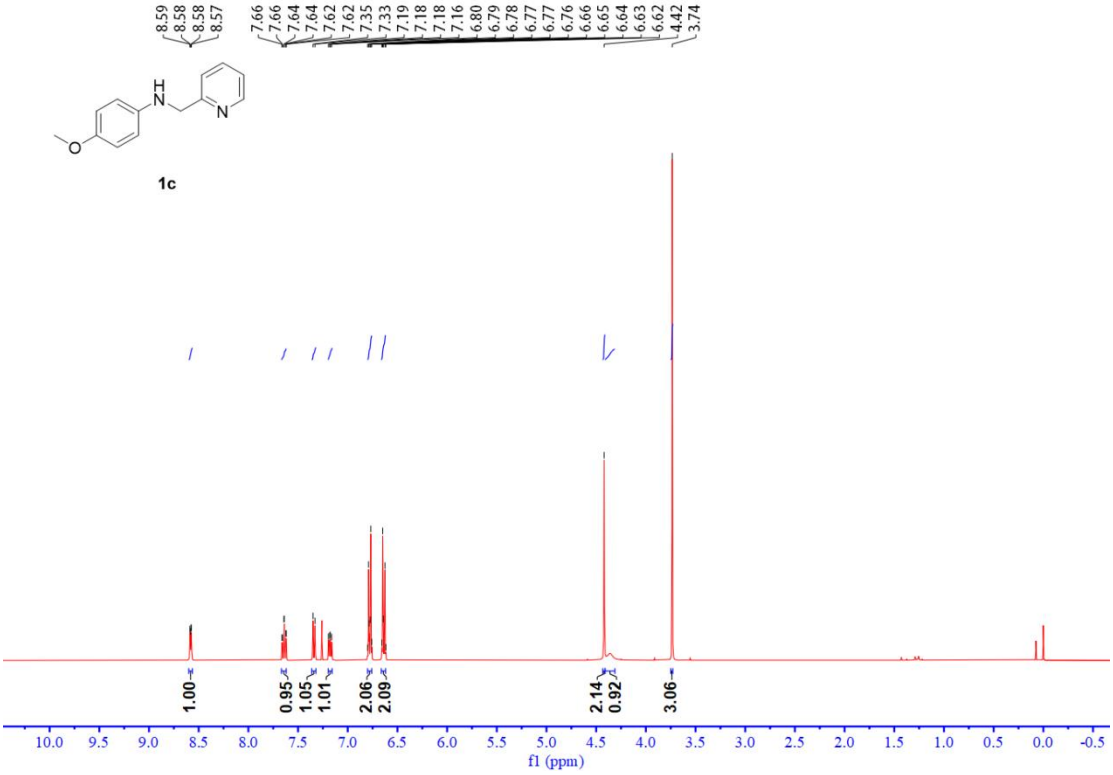
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1a**



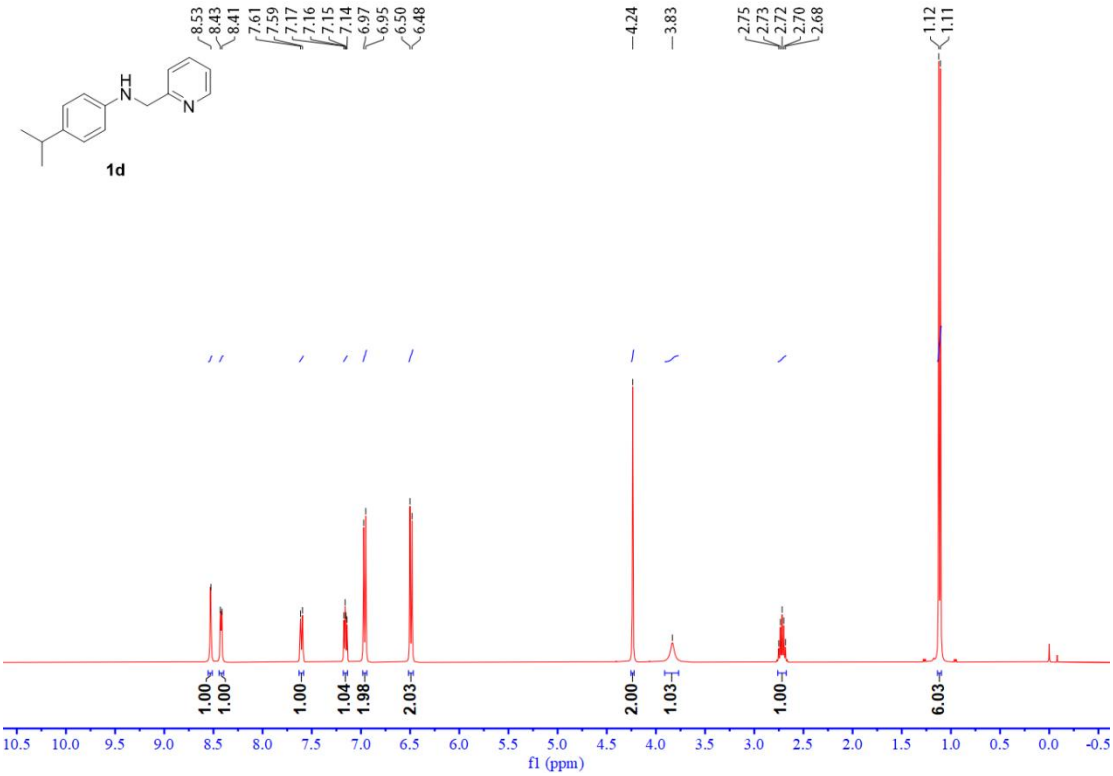
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1b**



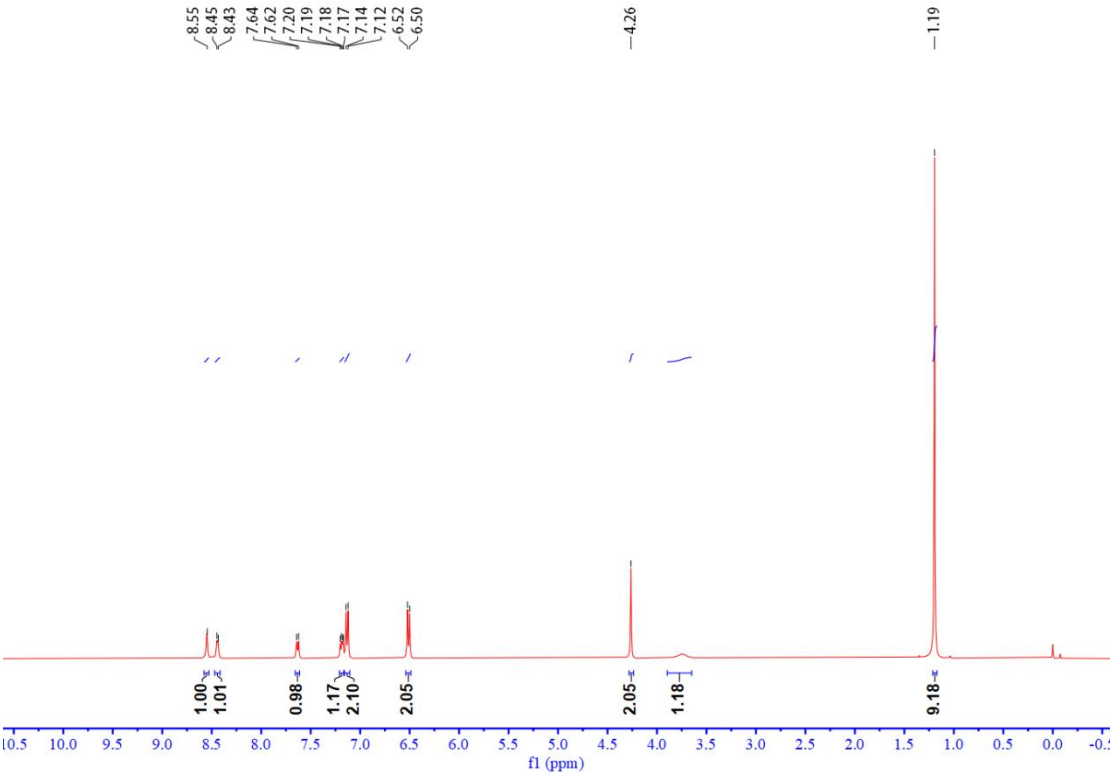
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1c**



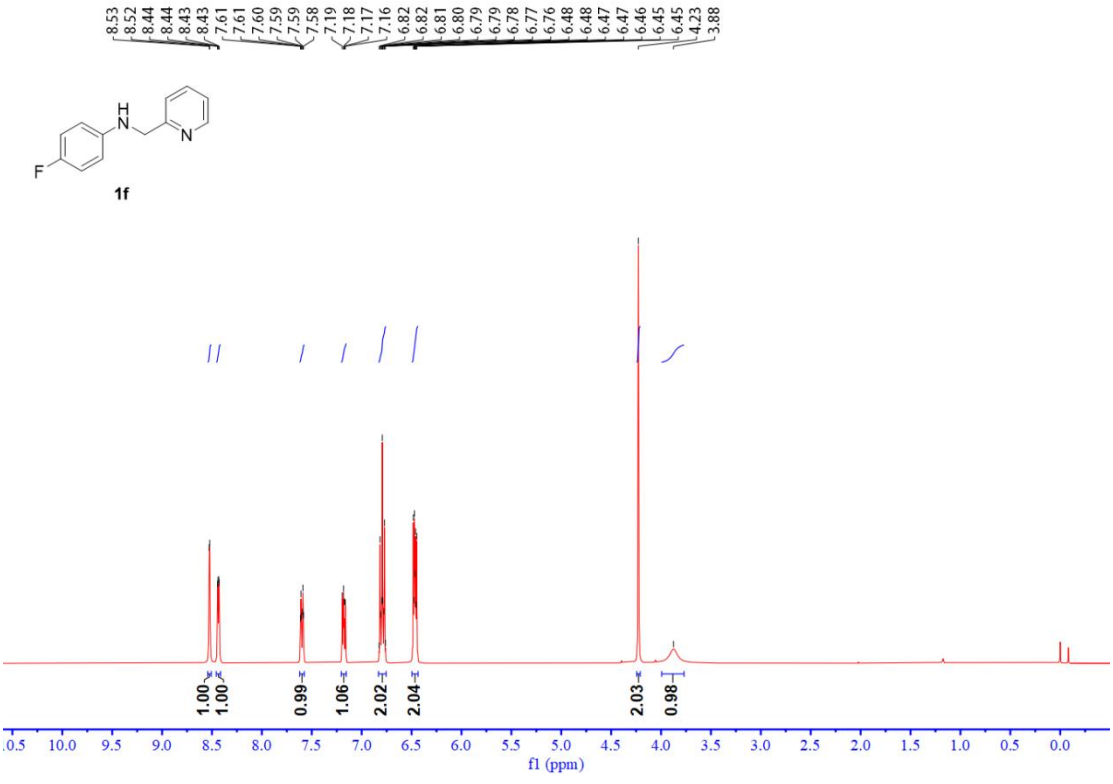
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1d**



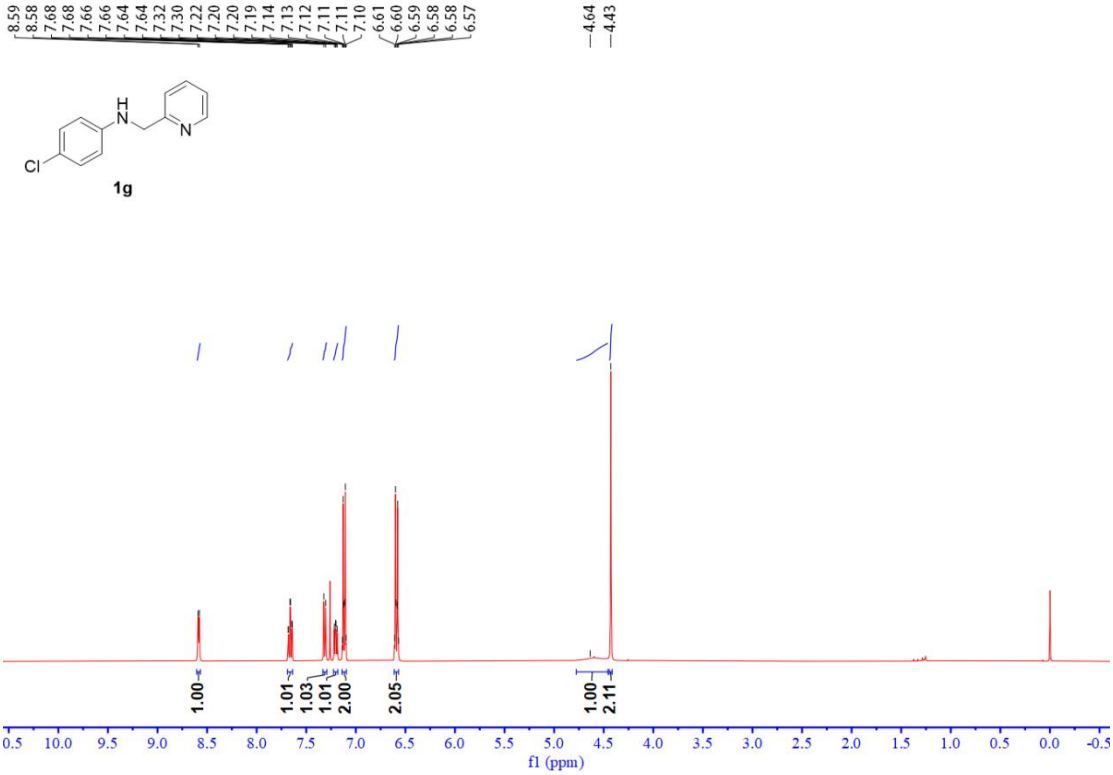
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1e**



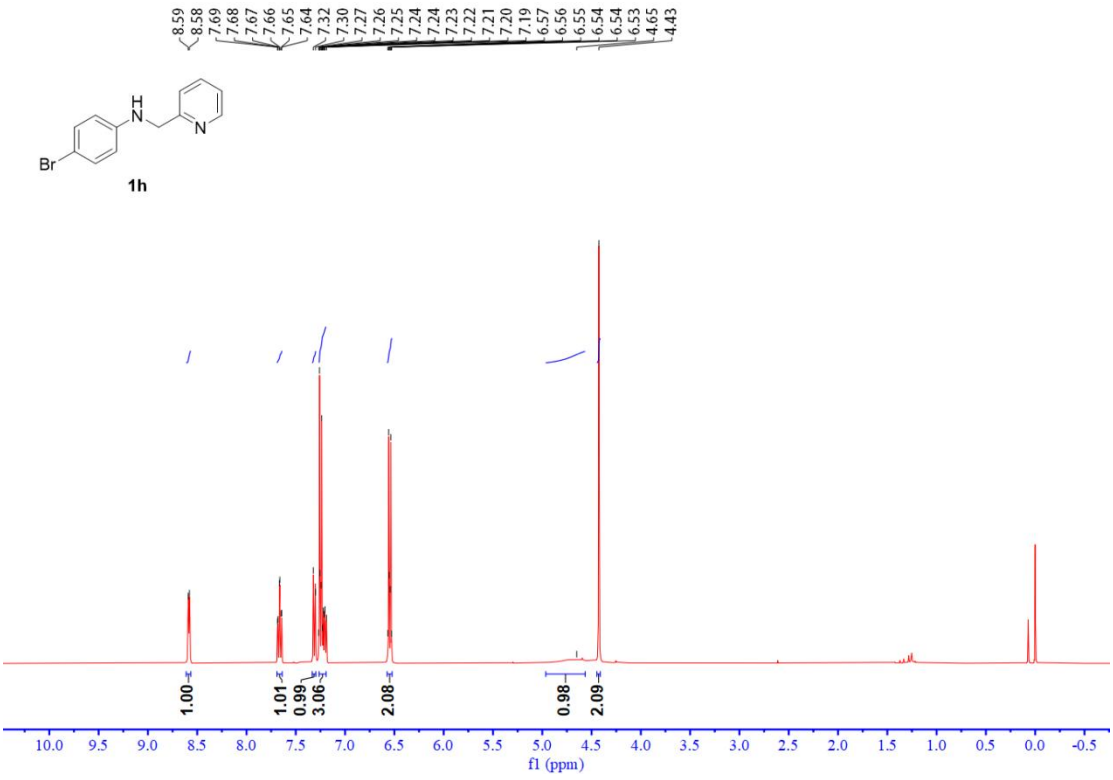
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1f**



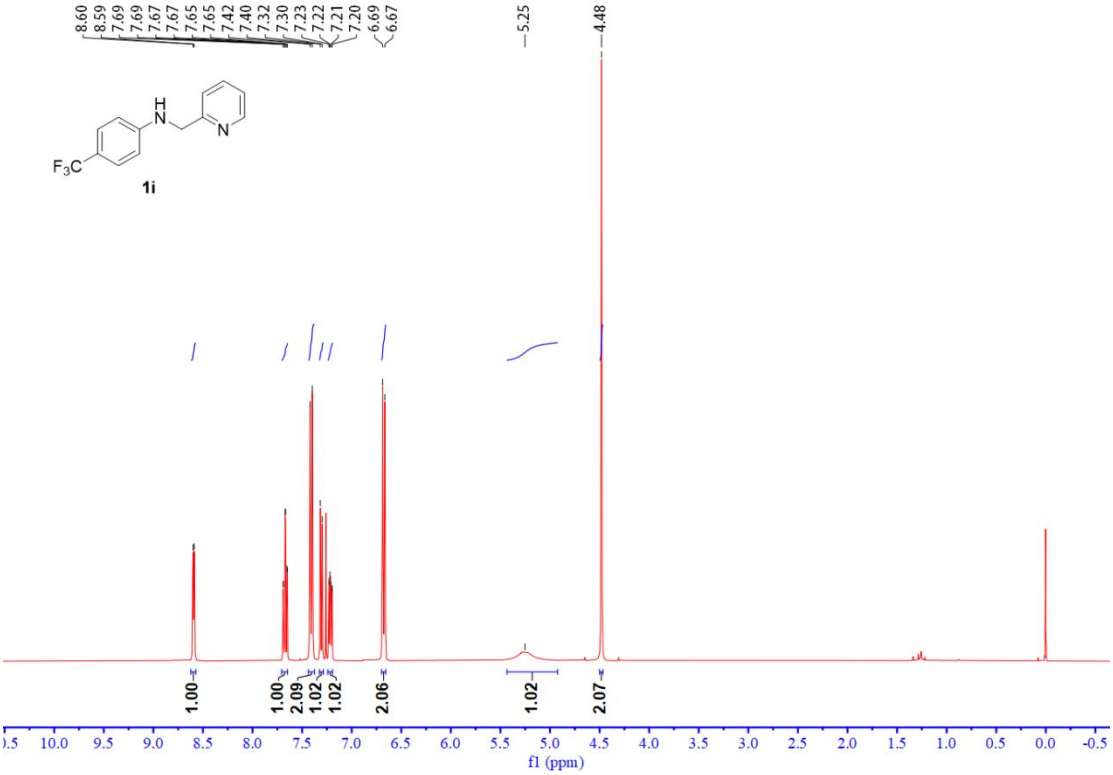
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1g**



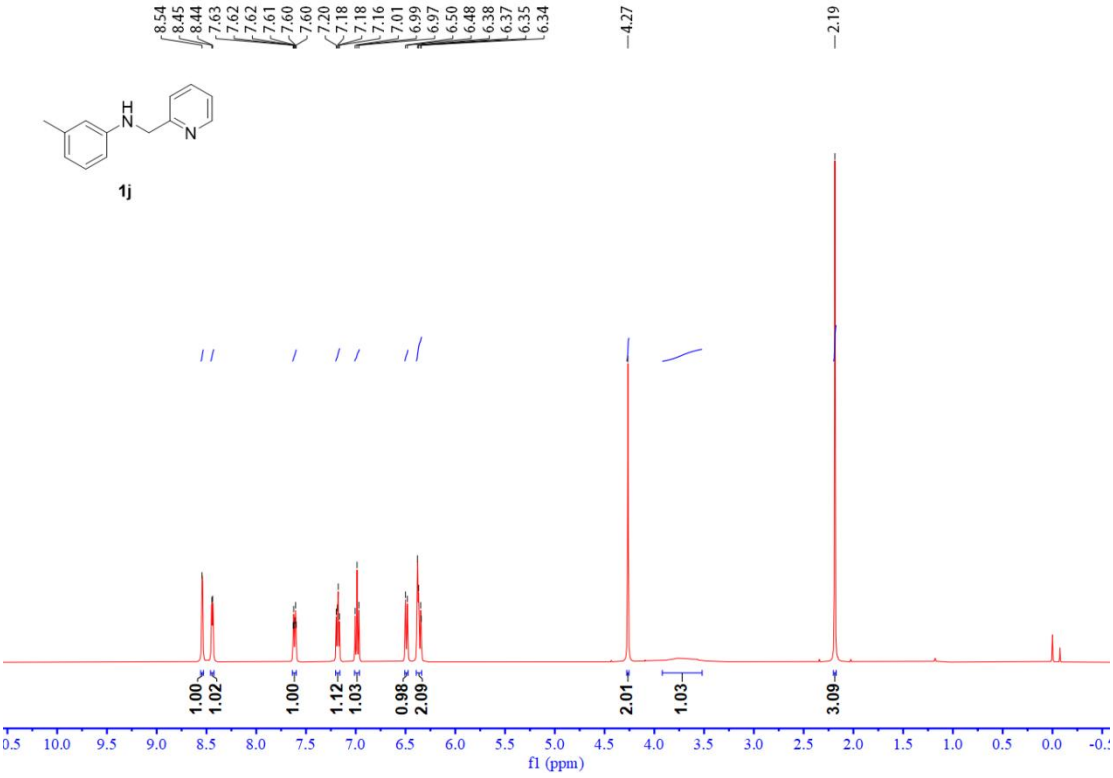
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1h**



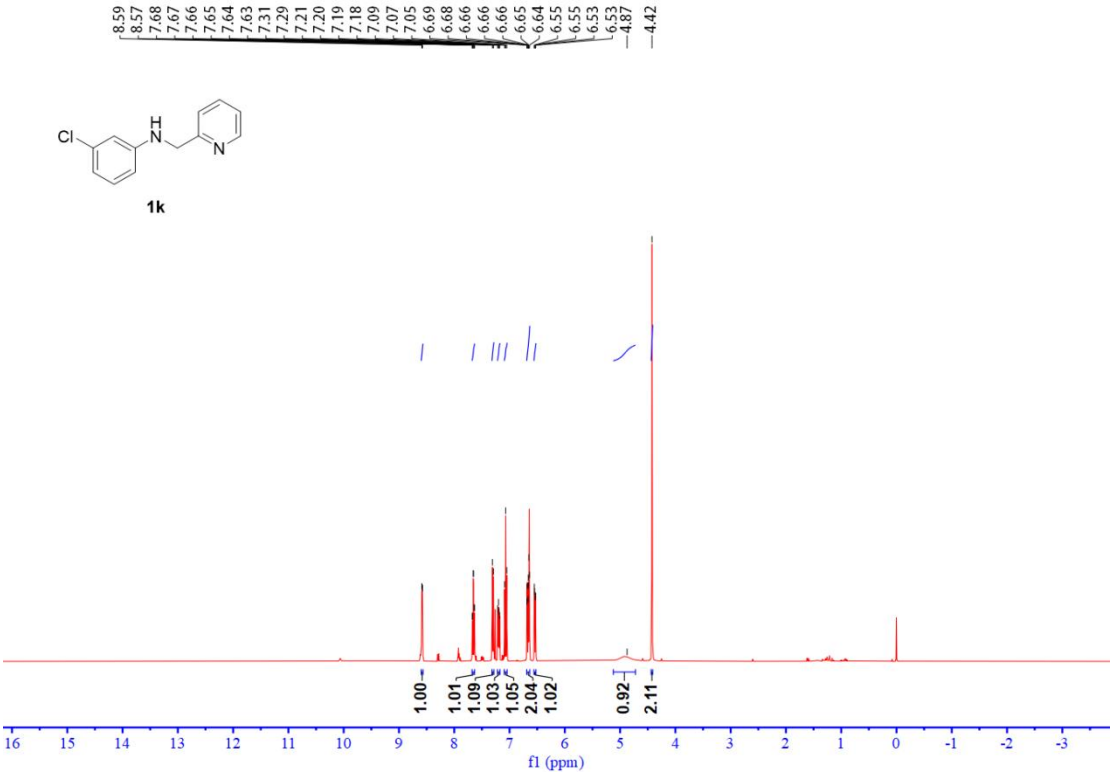
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1i**



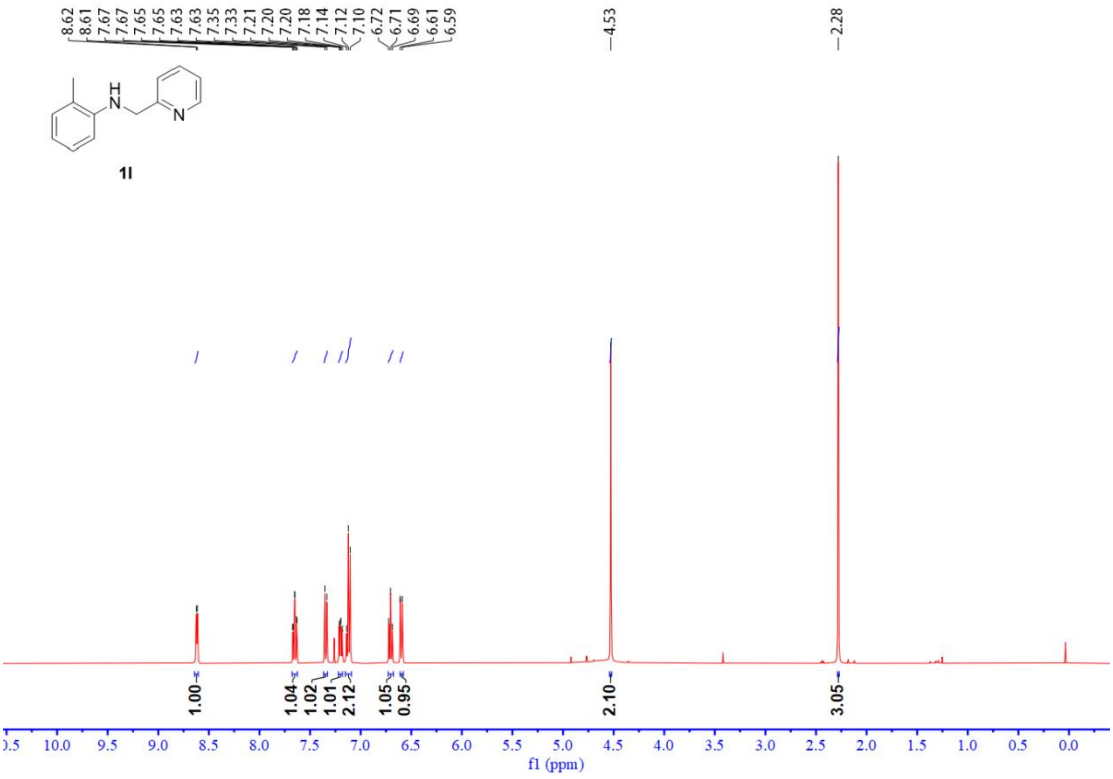
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1j**



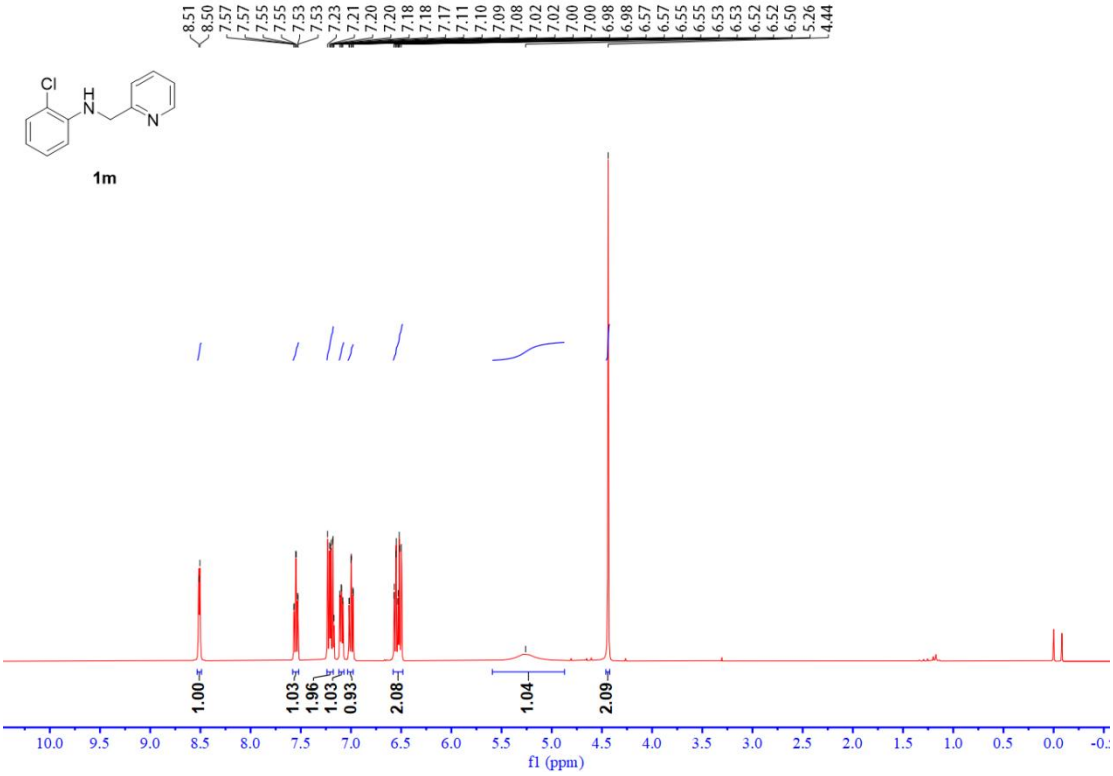
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1k**



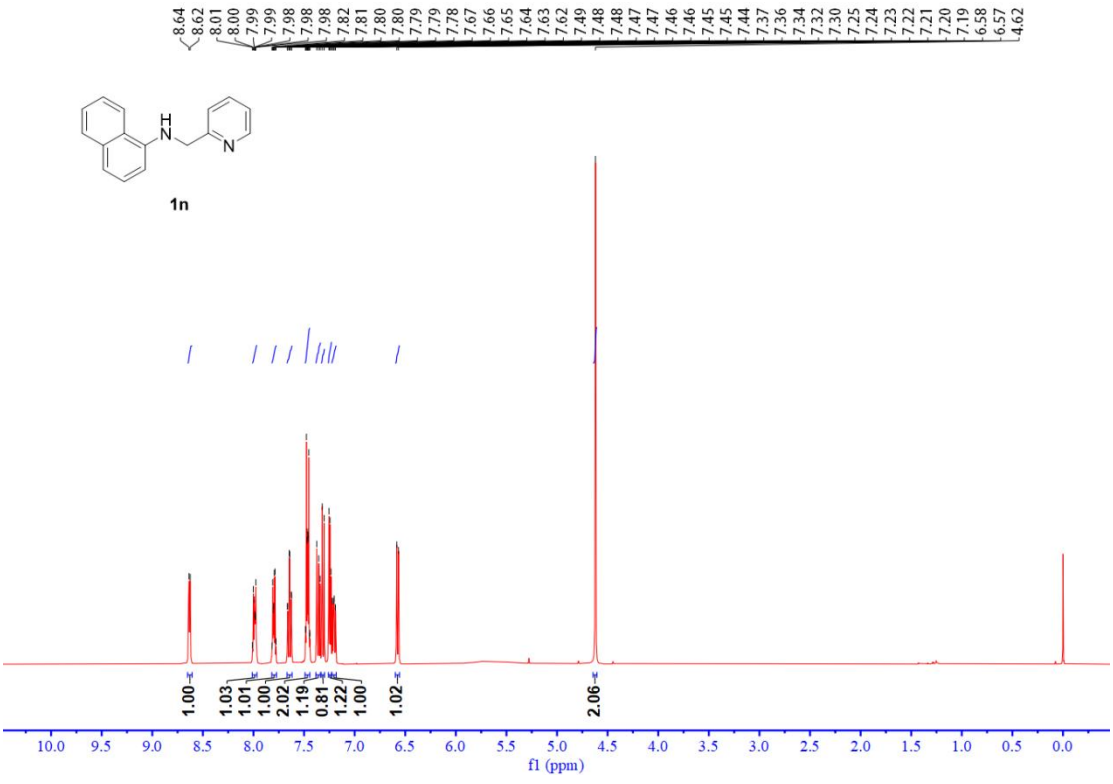
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1l**



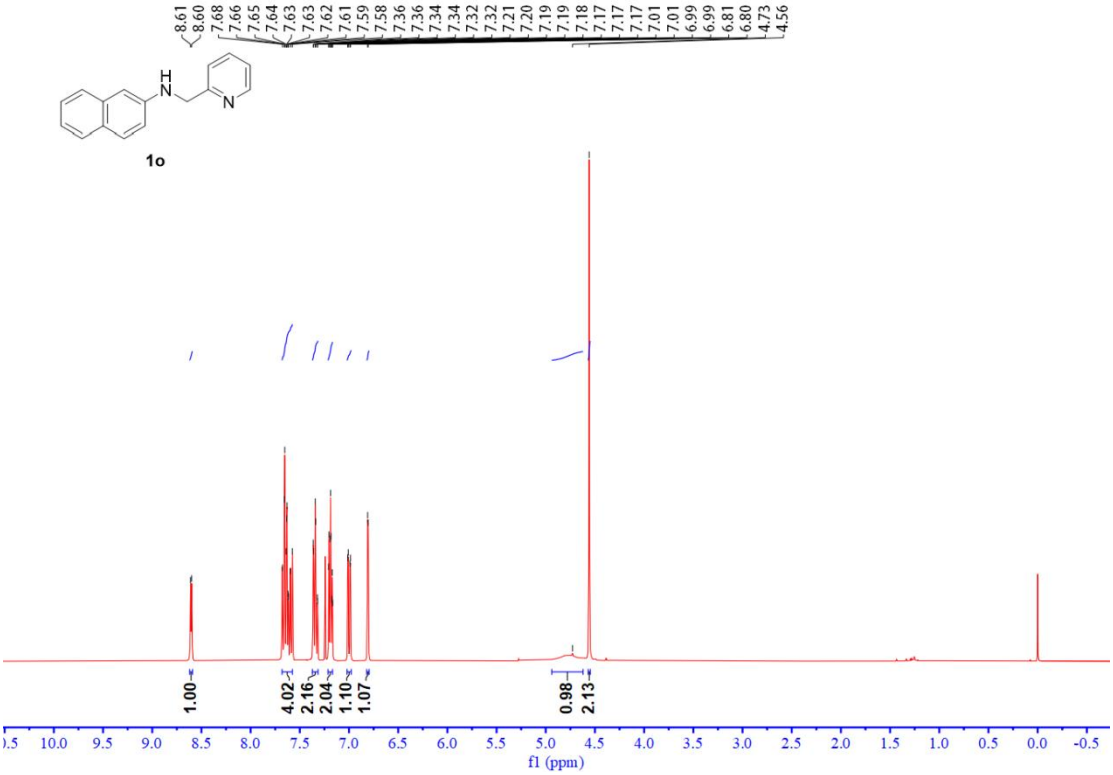
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1m**



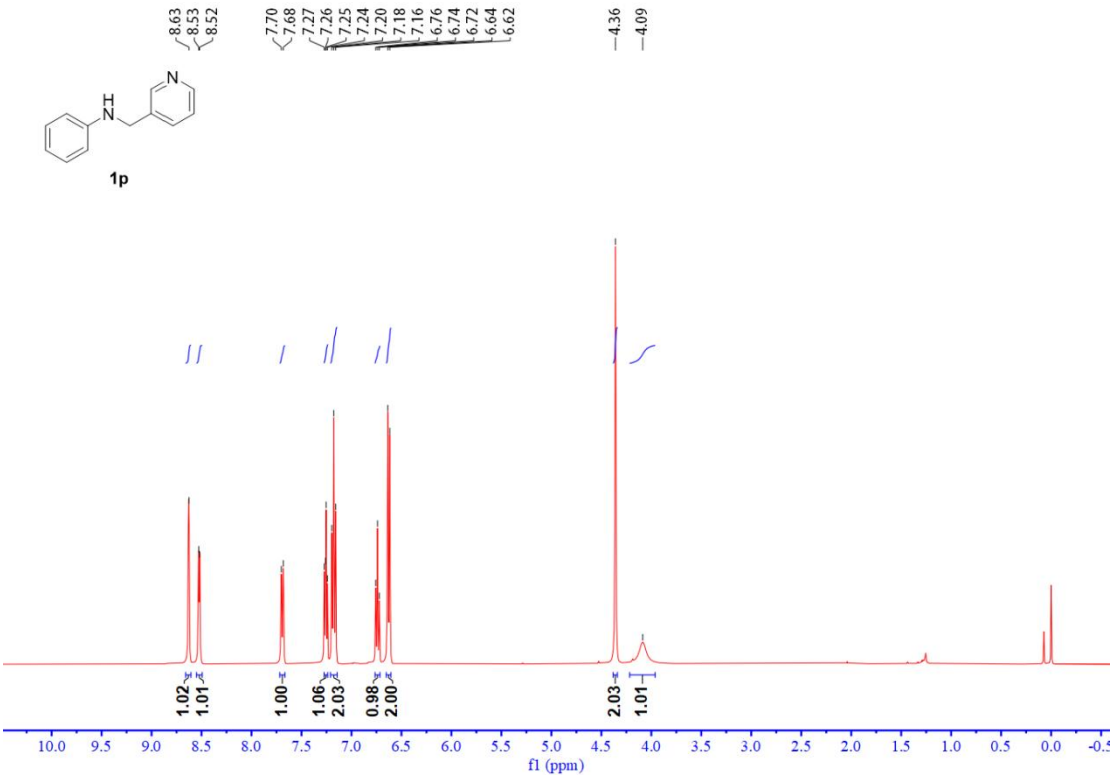
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1n**



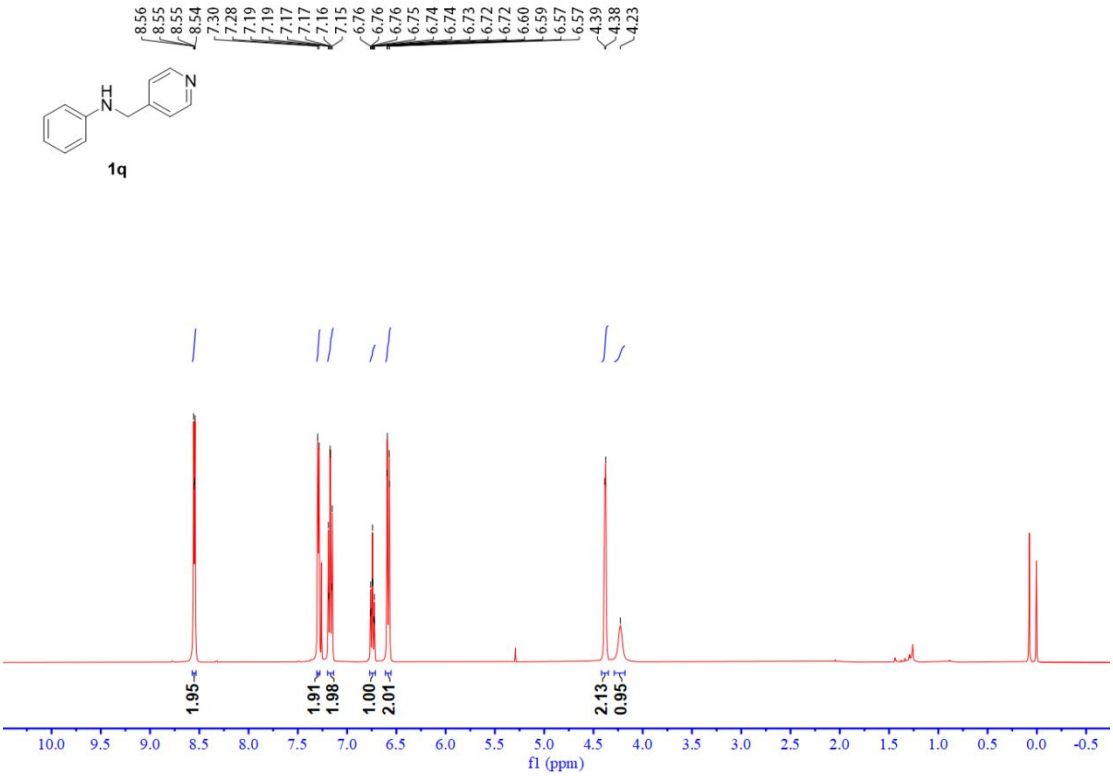
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1o**



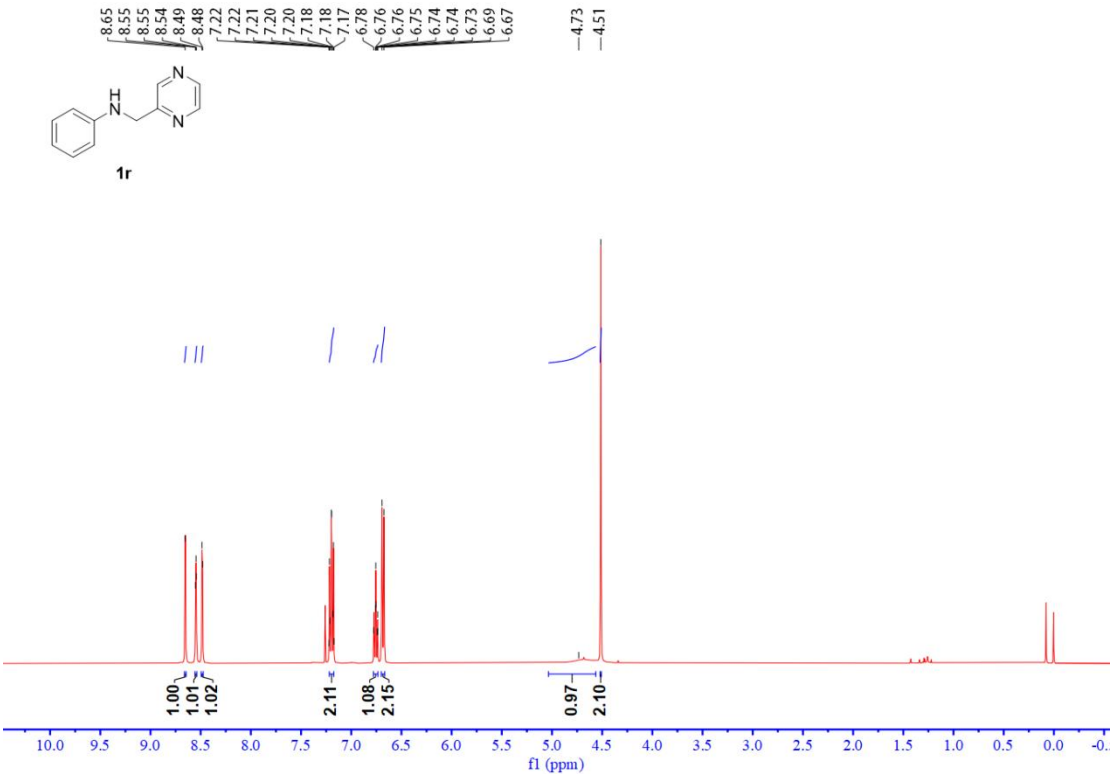
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1p**



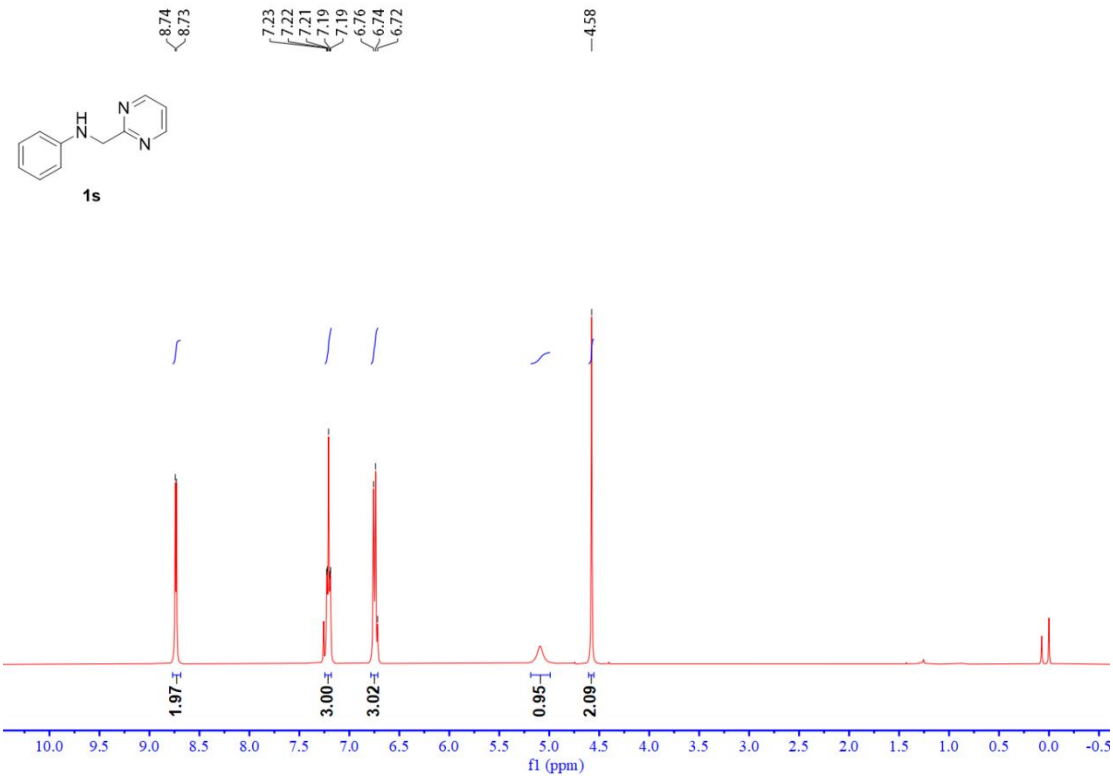
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1q**



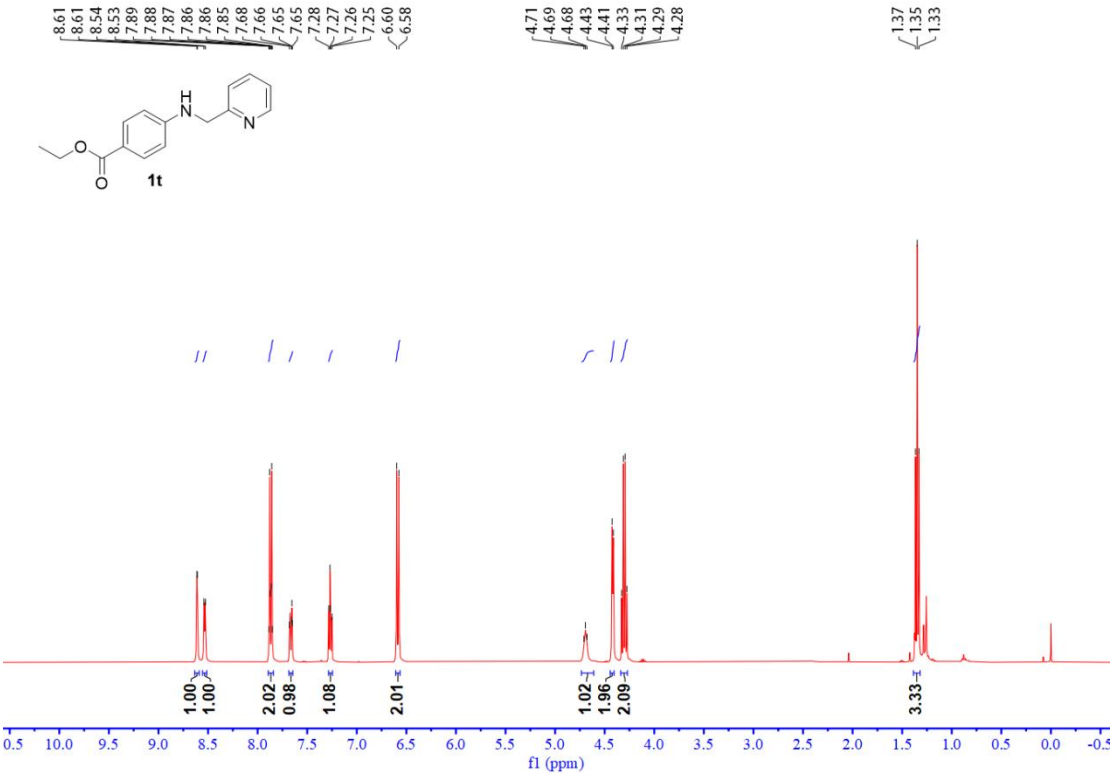
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1r**



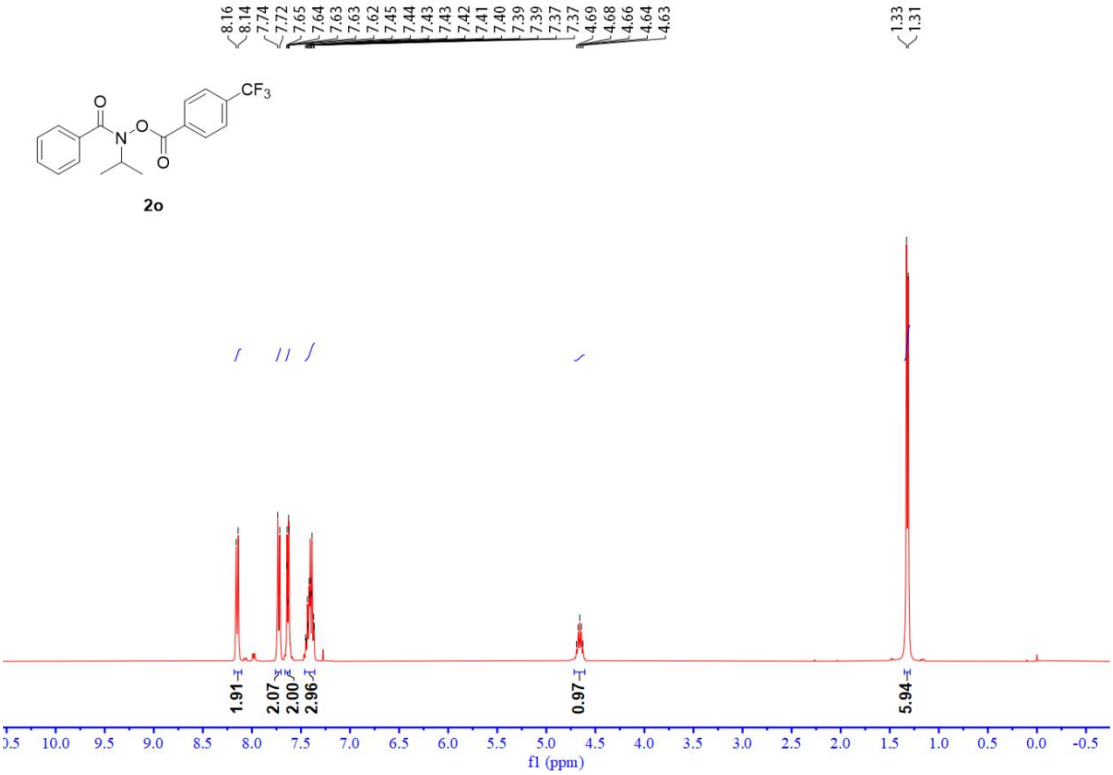
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1s**



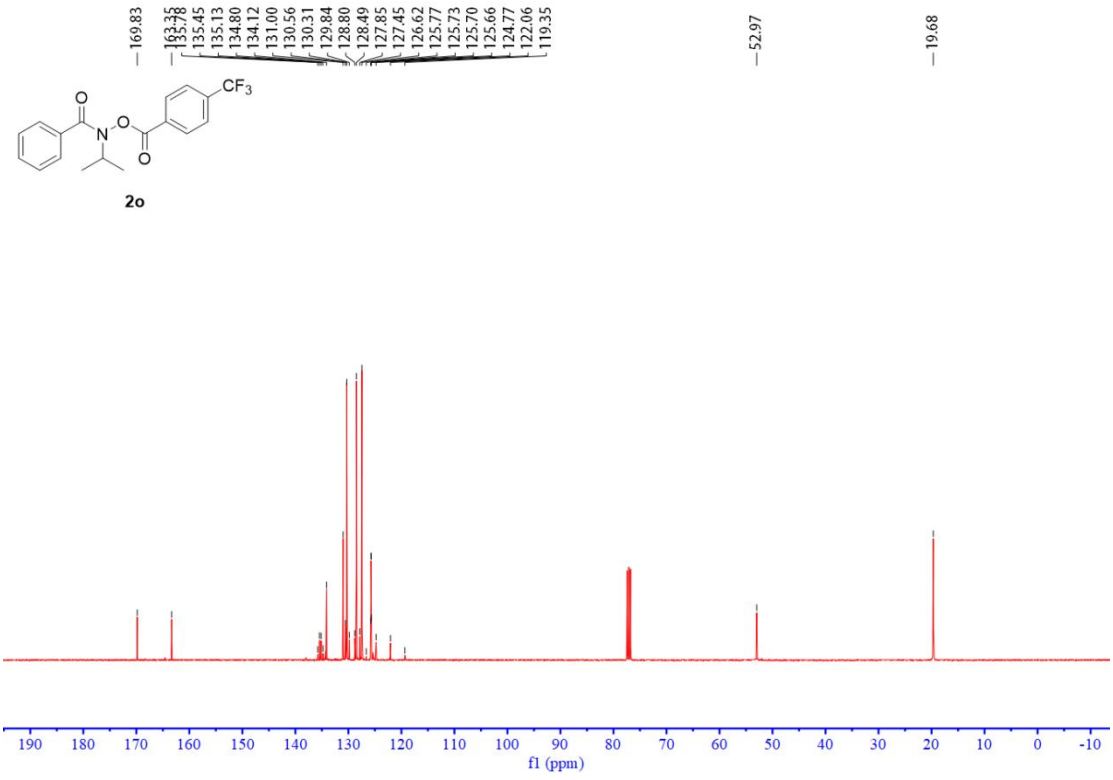
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1t**



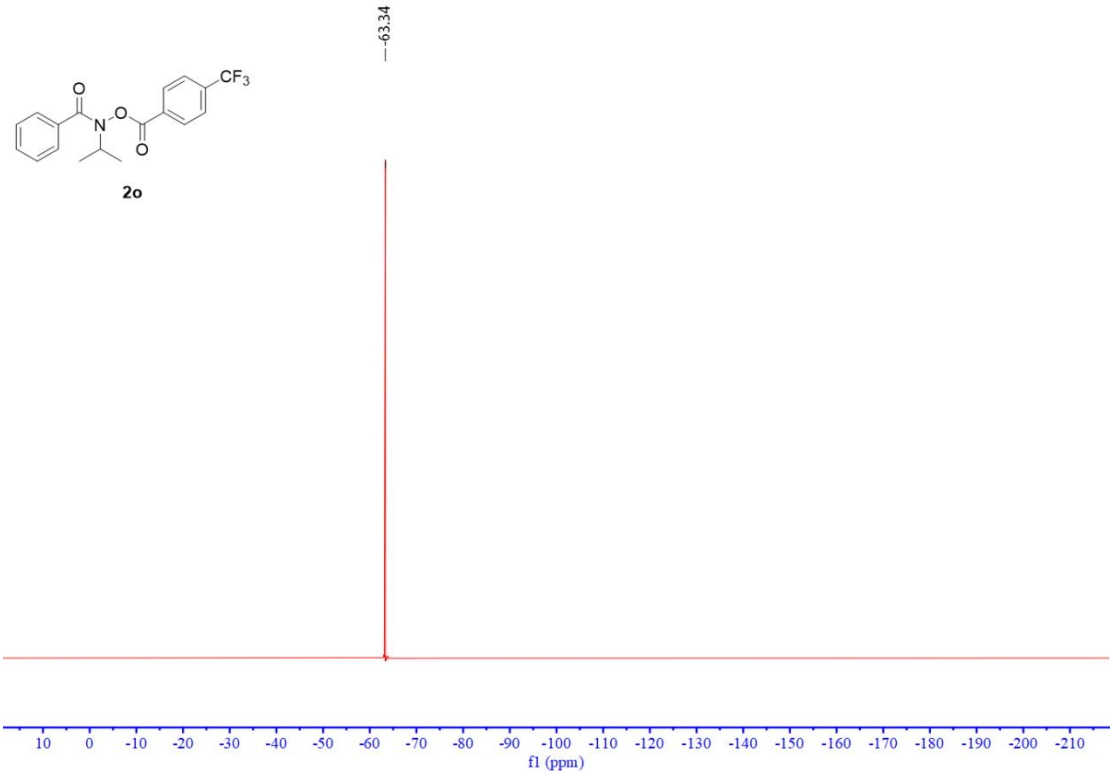
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **2o**



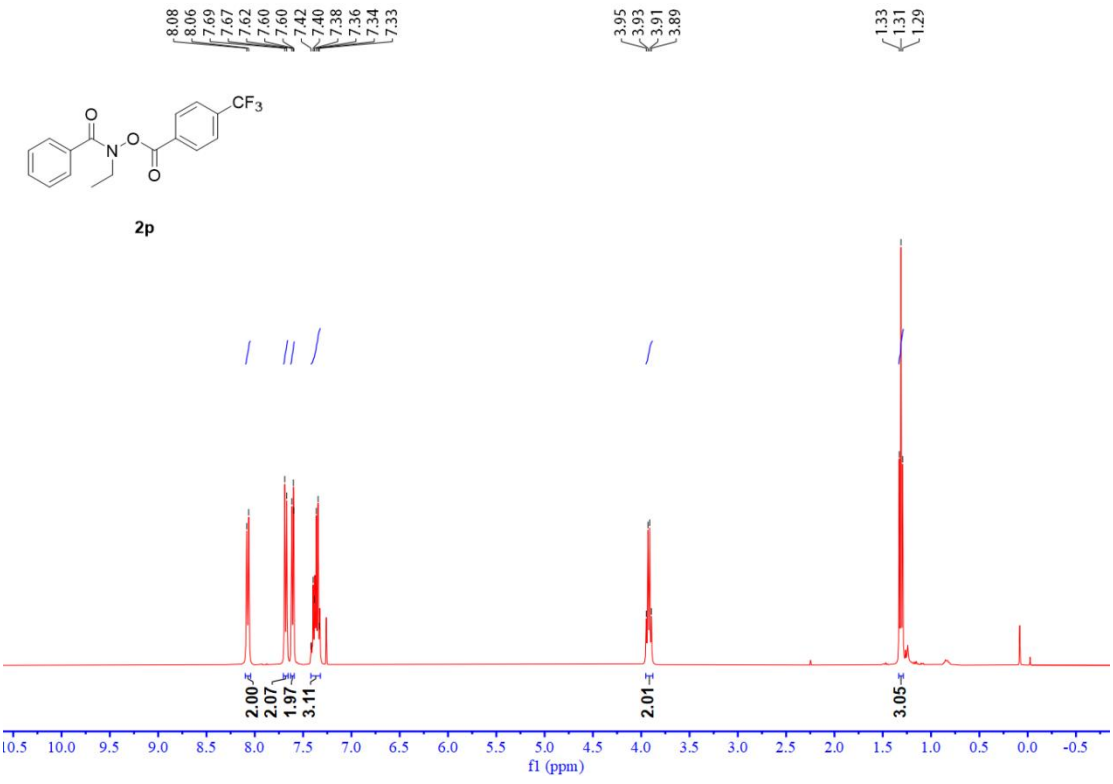
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **2o**



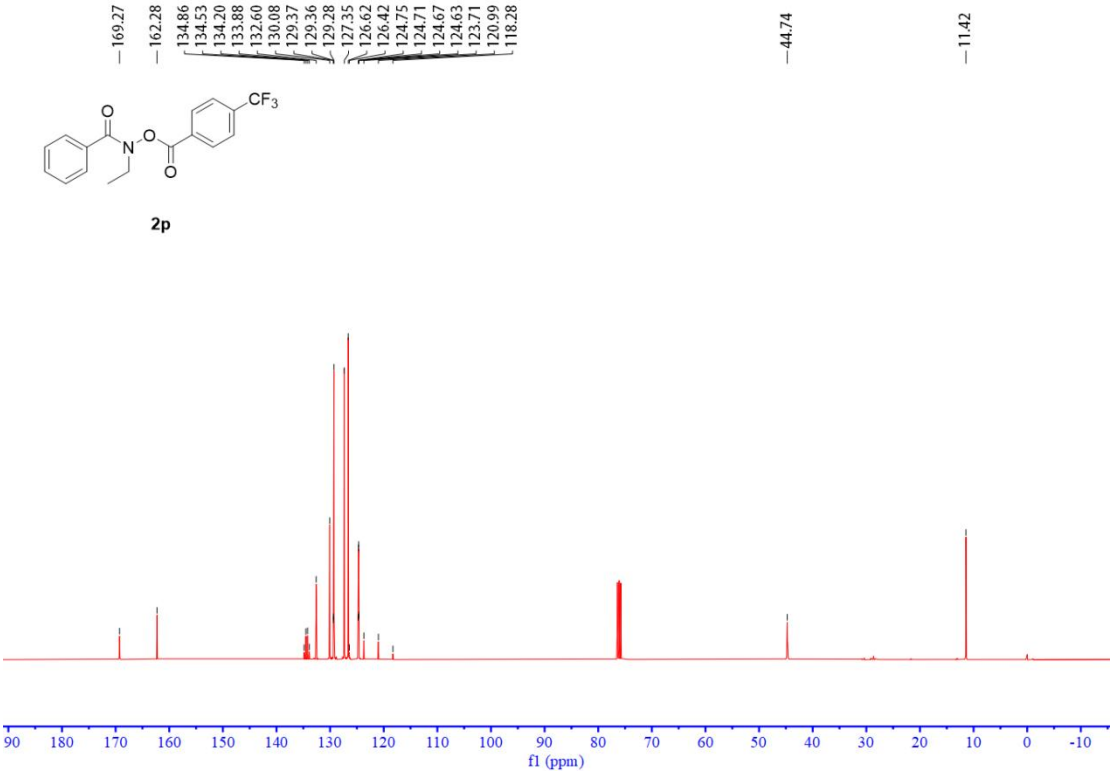
^{19}F NMR ($CDCl_3$, 376 MHz) spectra of compound **2o**



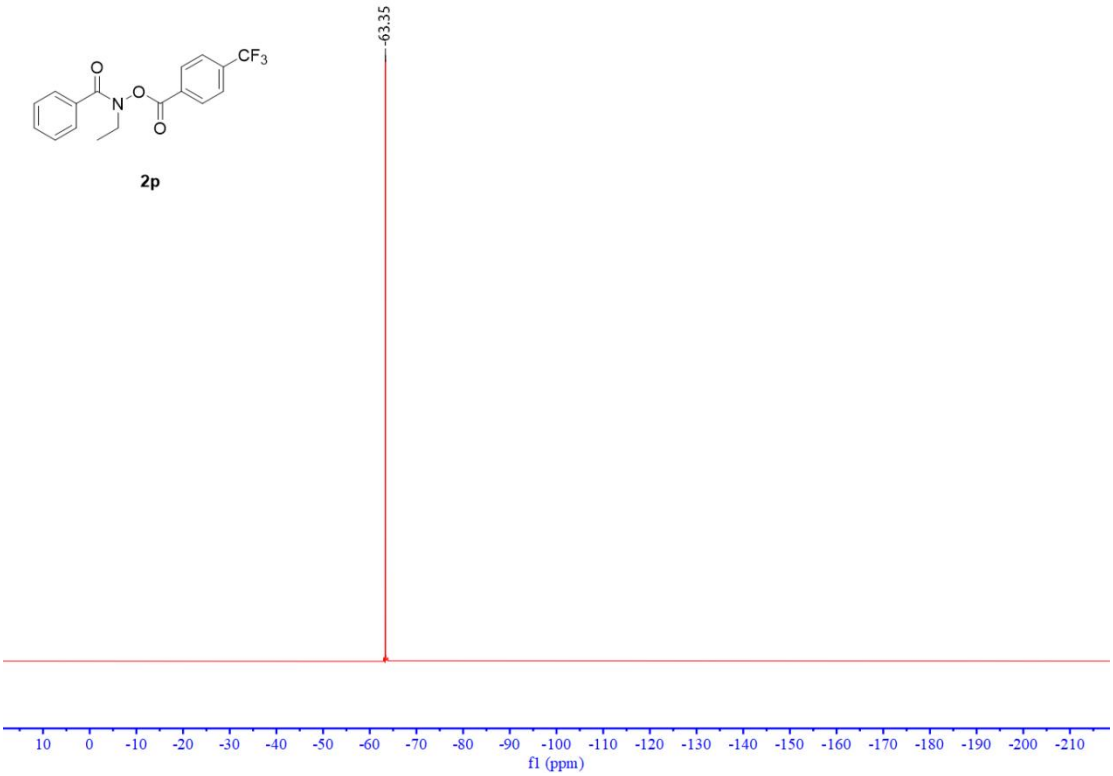
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **2p**



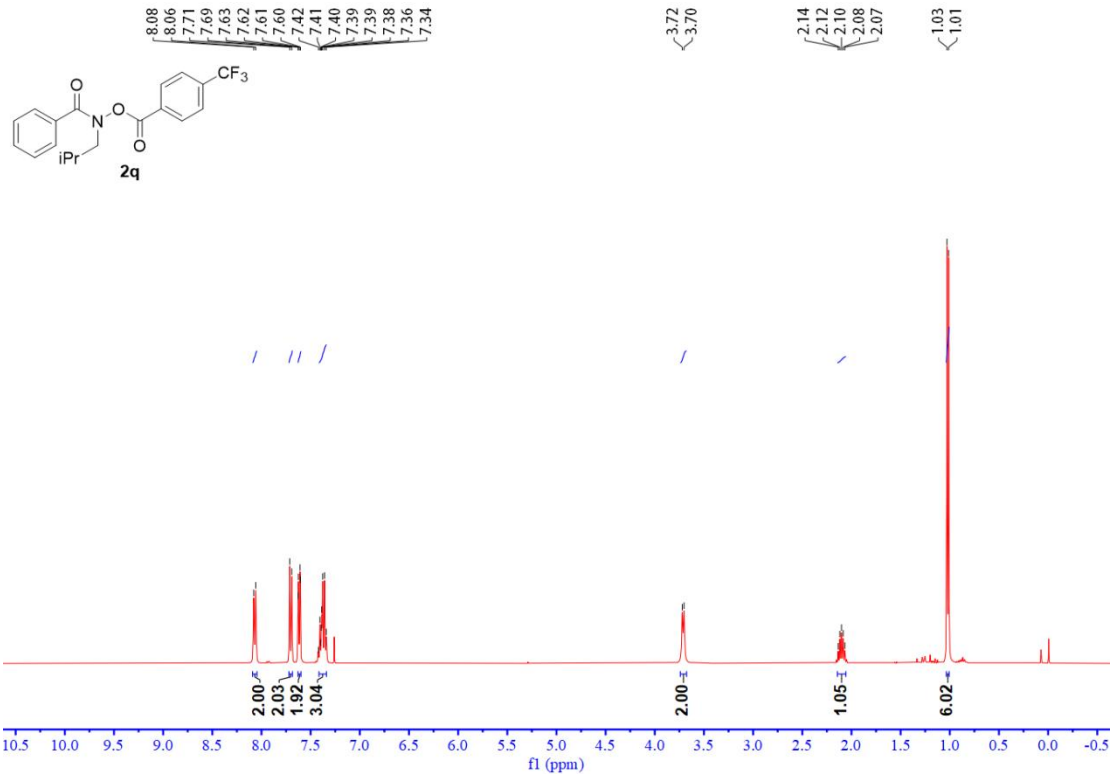
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **2p**



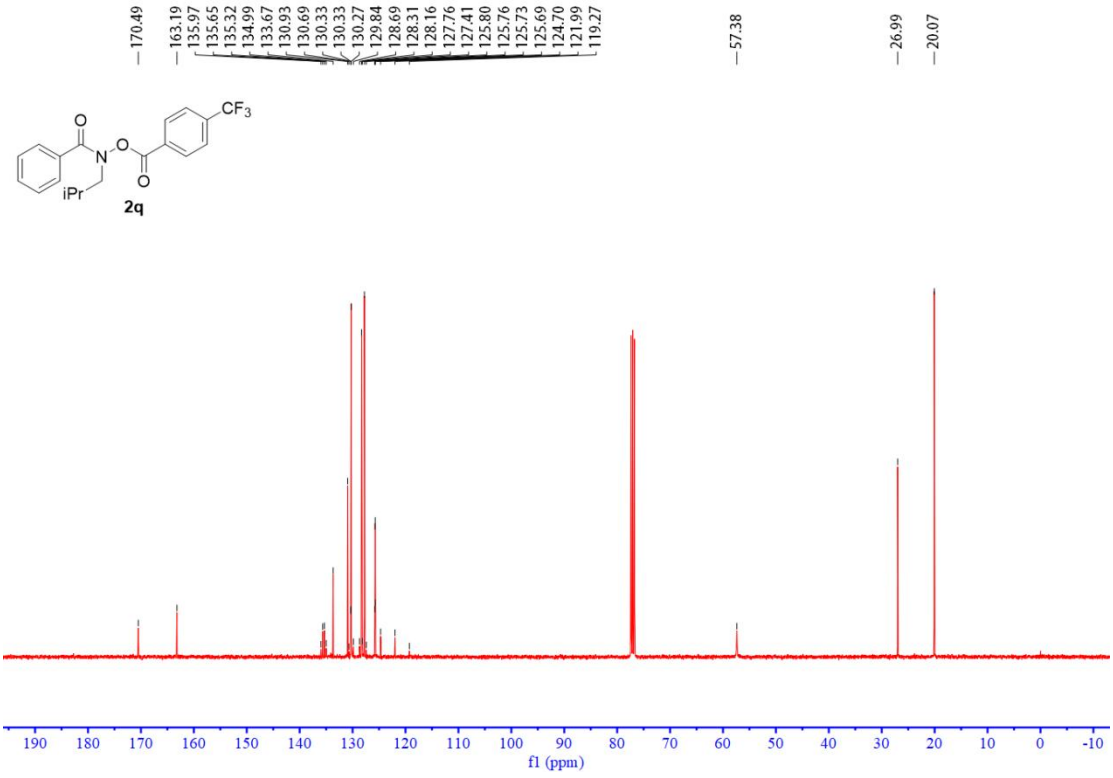
^{19}F NMR ($CDCl_3$, 376 MHz) spectra of compound **2p**



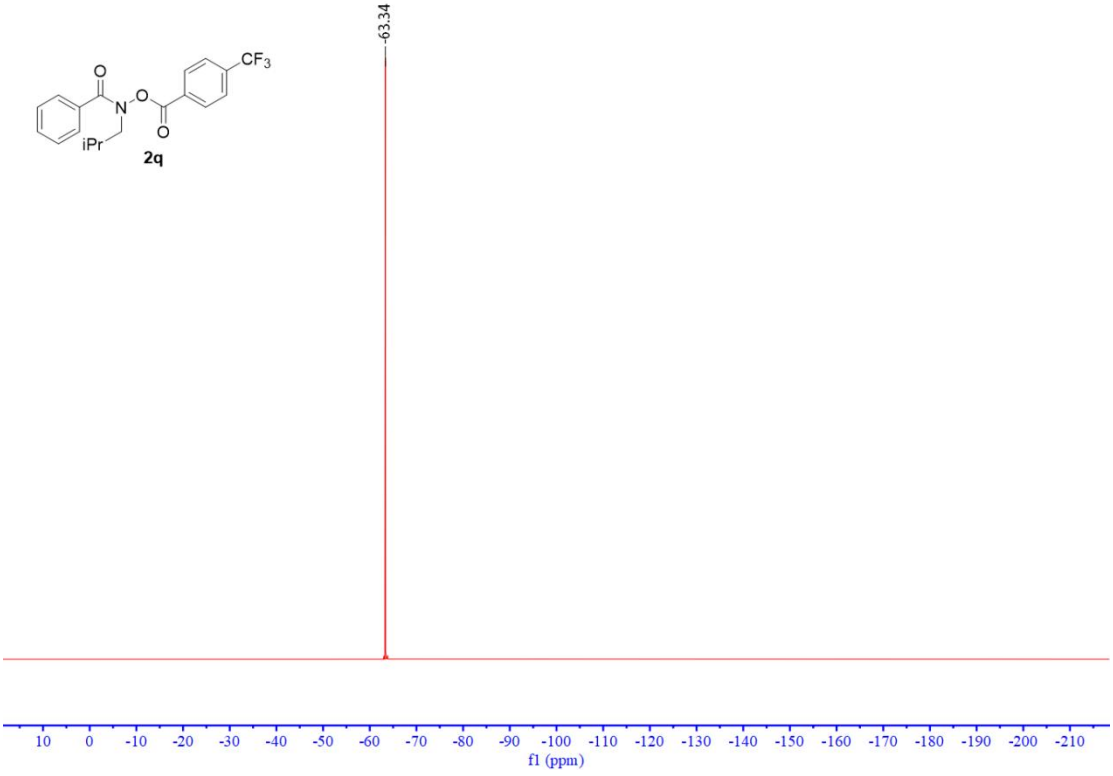
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **2q**



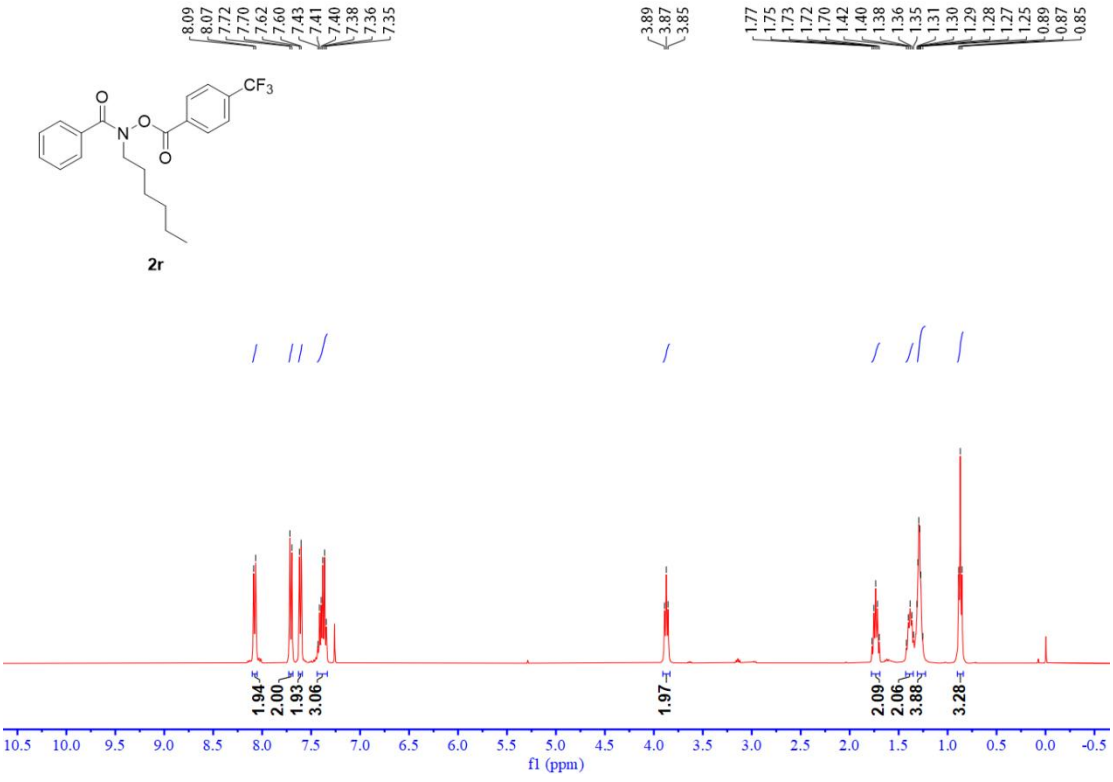
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **2q**



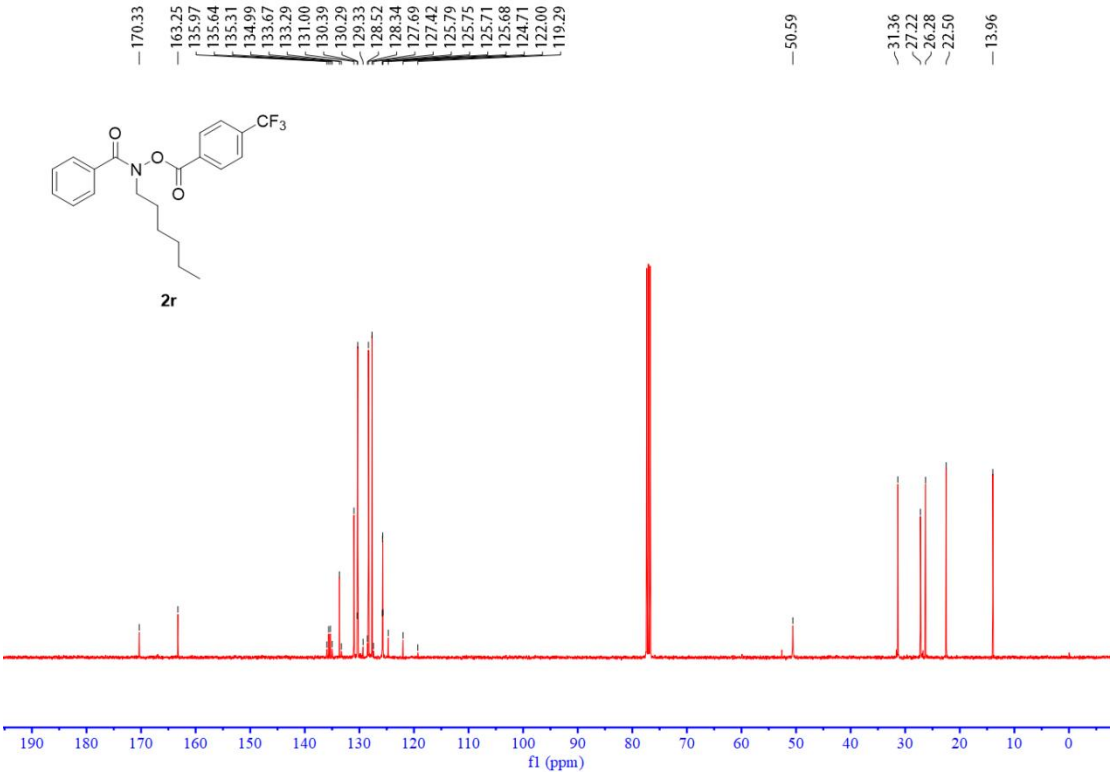
^{19}F NMR ($CDCl_3$, 376 MHz) spectra of compound **2q**



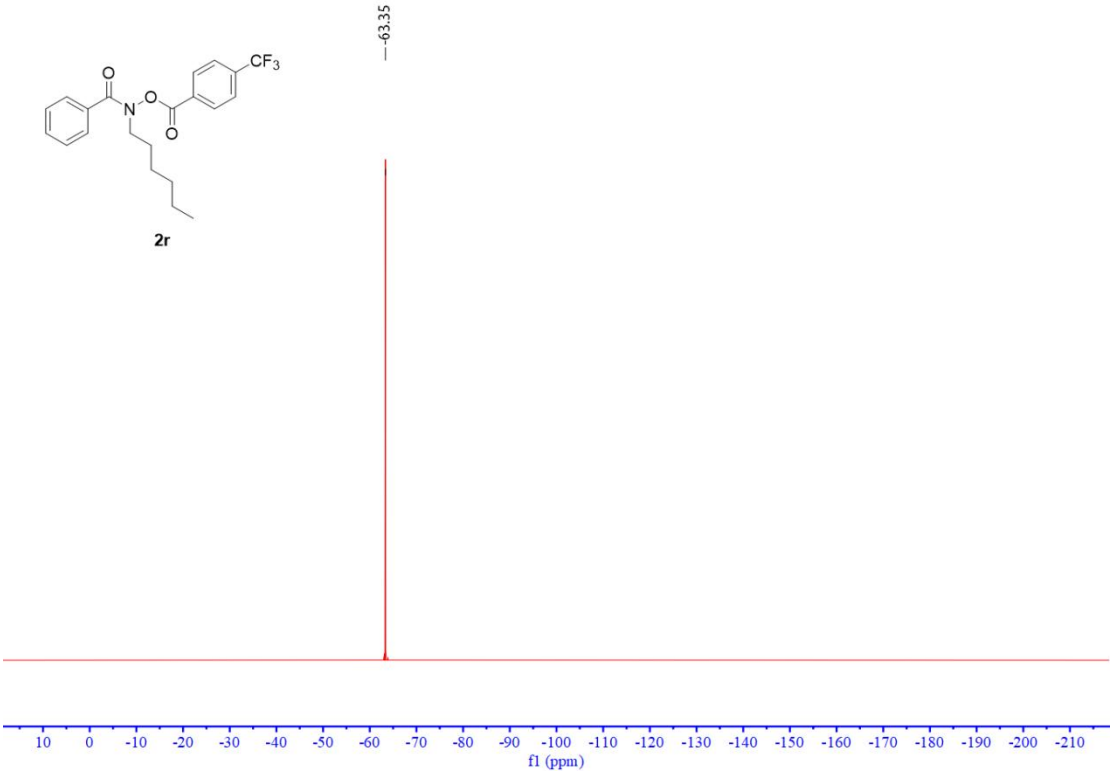
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **2r**



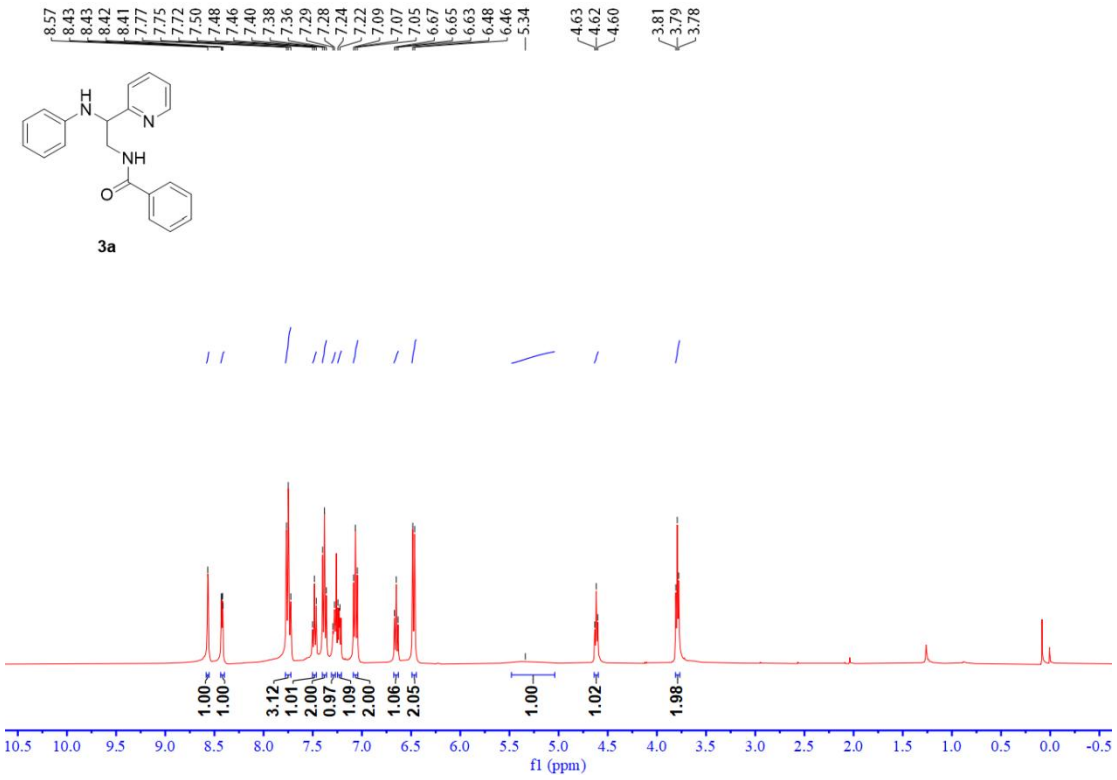
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **2r**



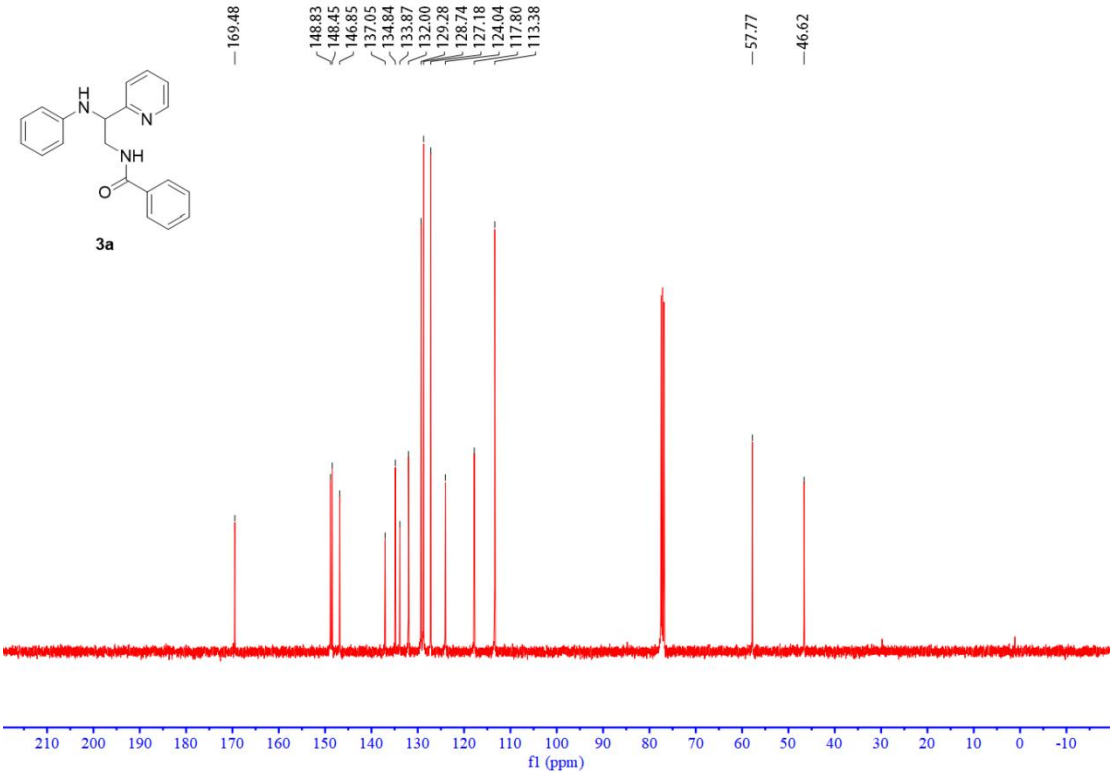
^{19}F NMR (CDCl3, 376 MHz) spectra of compound **2r**



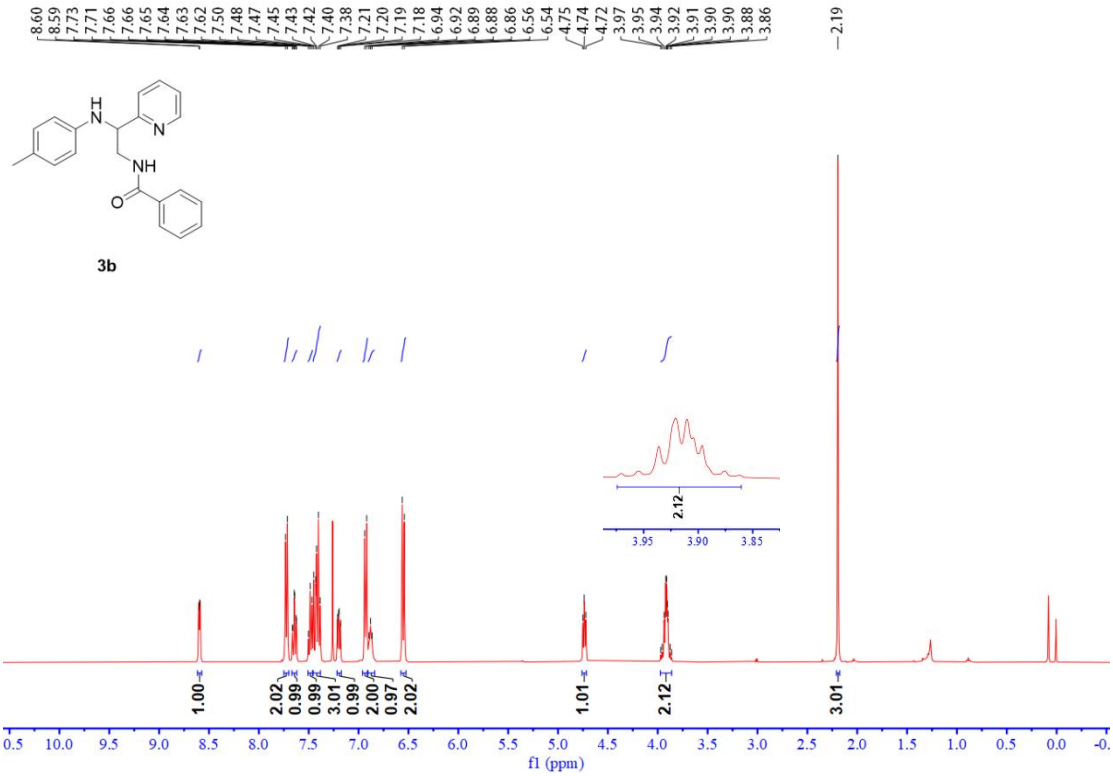
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3a**



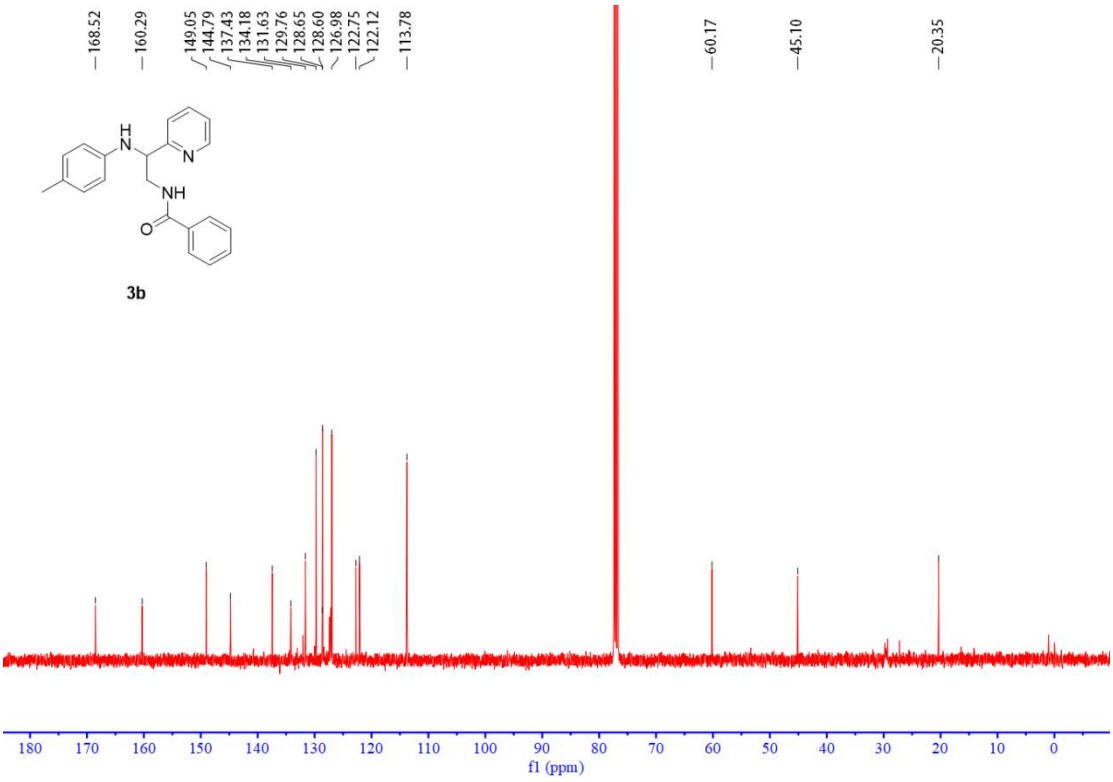
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3a**



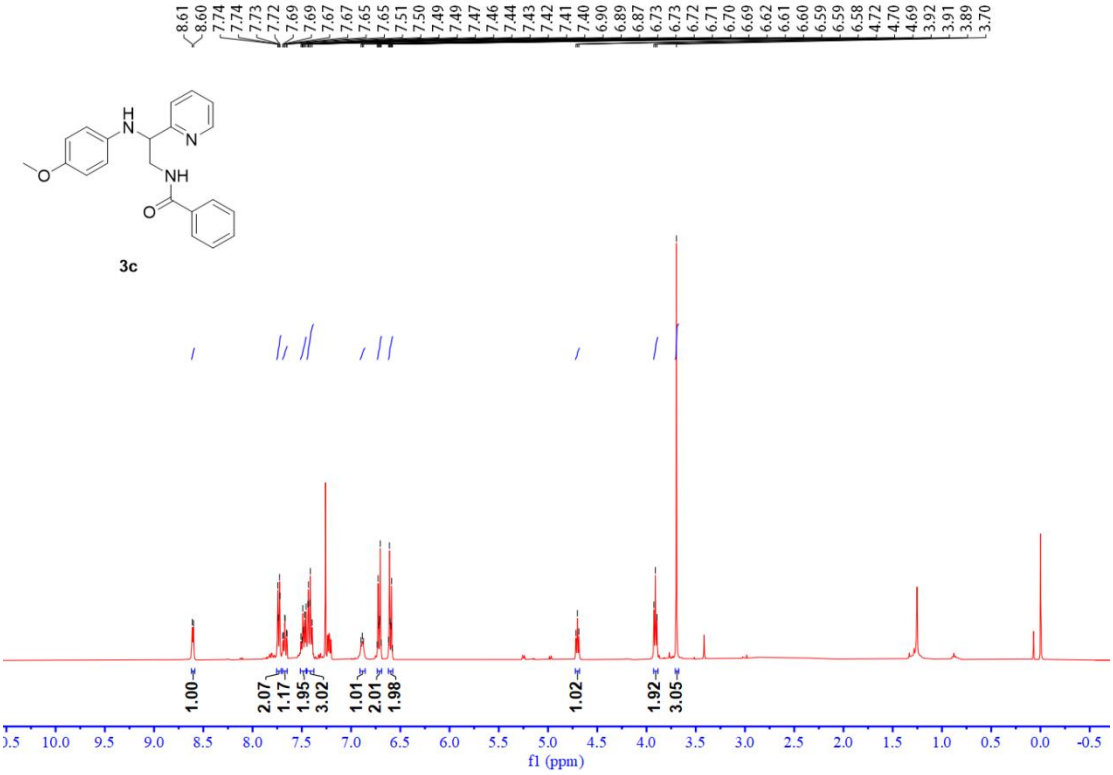
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3b**



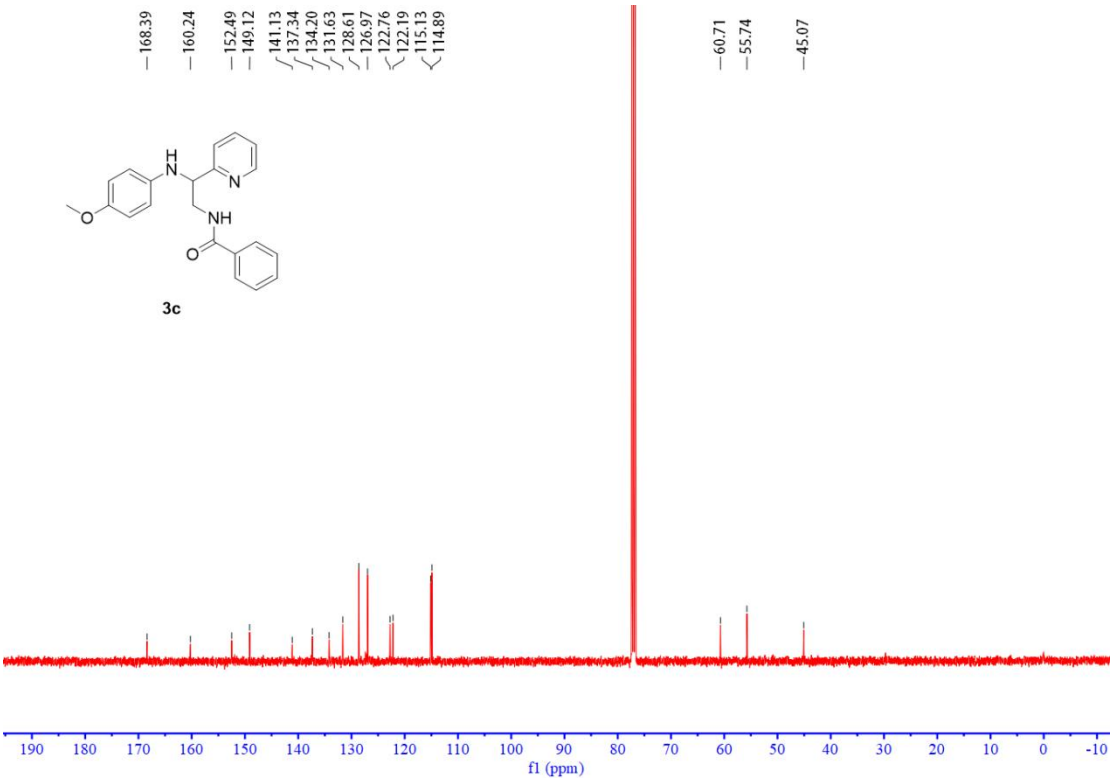
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3b**



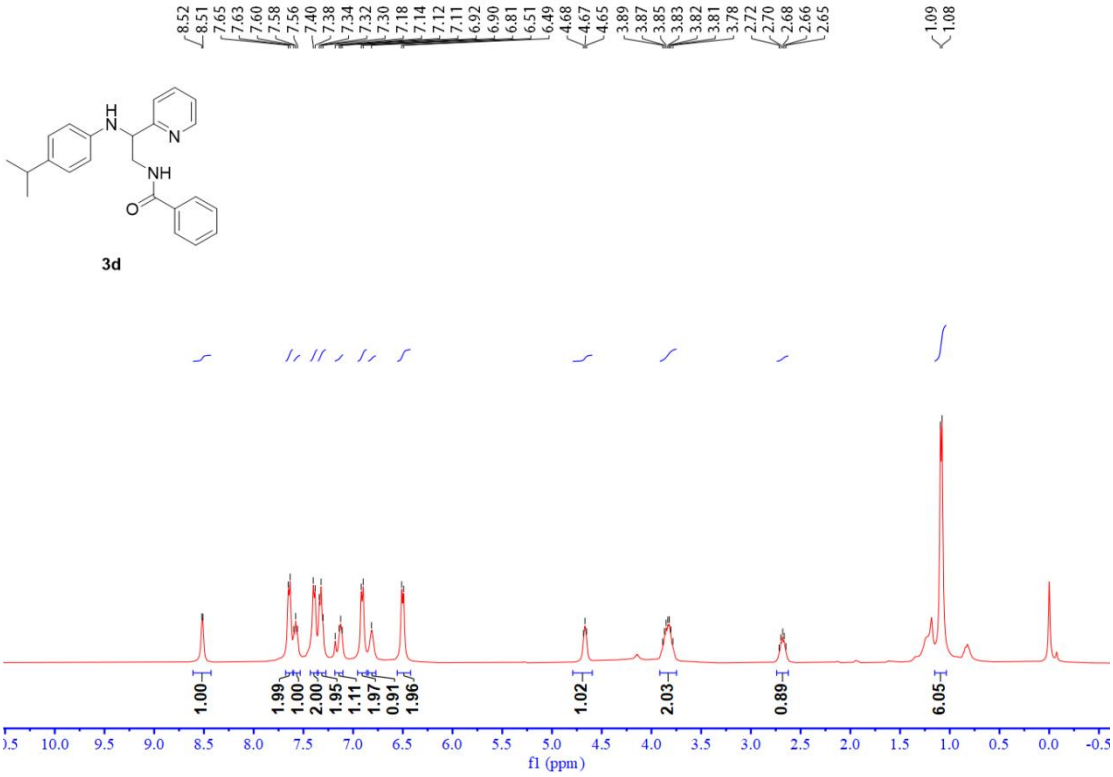
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3c**



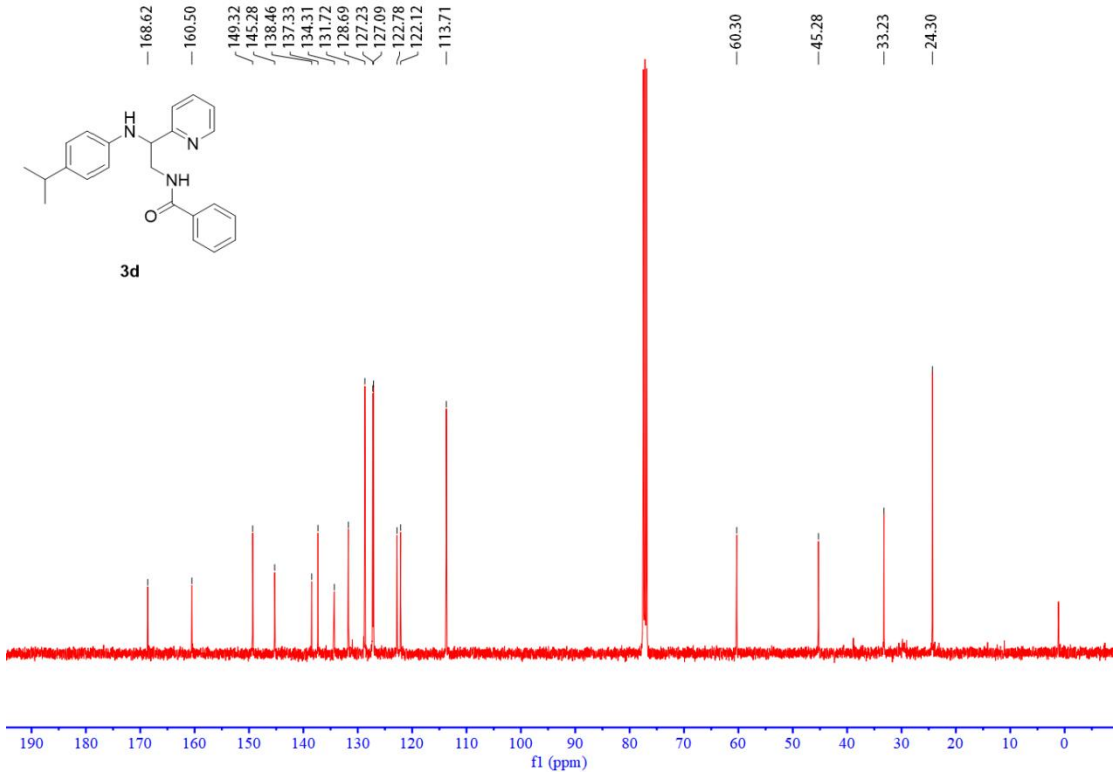
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3c**



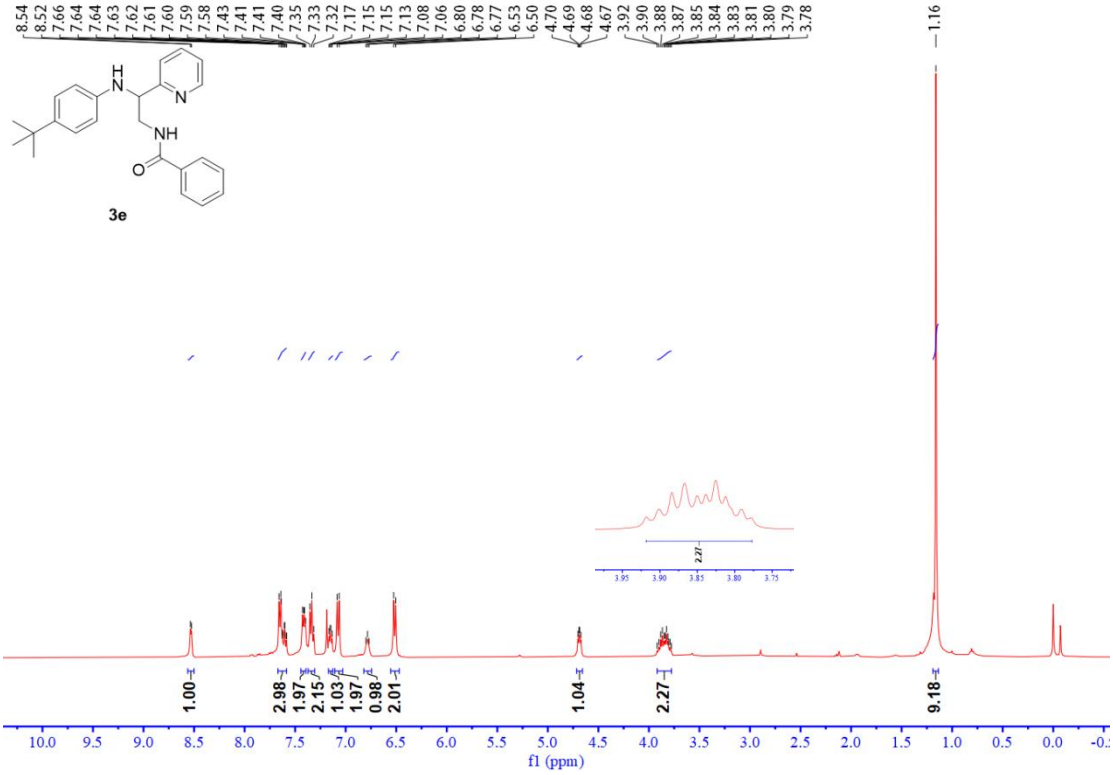
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3d**



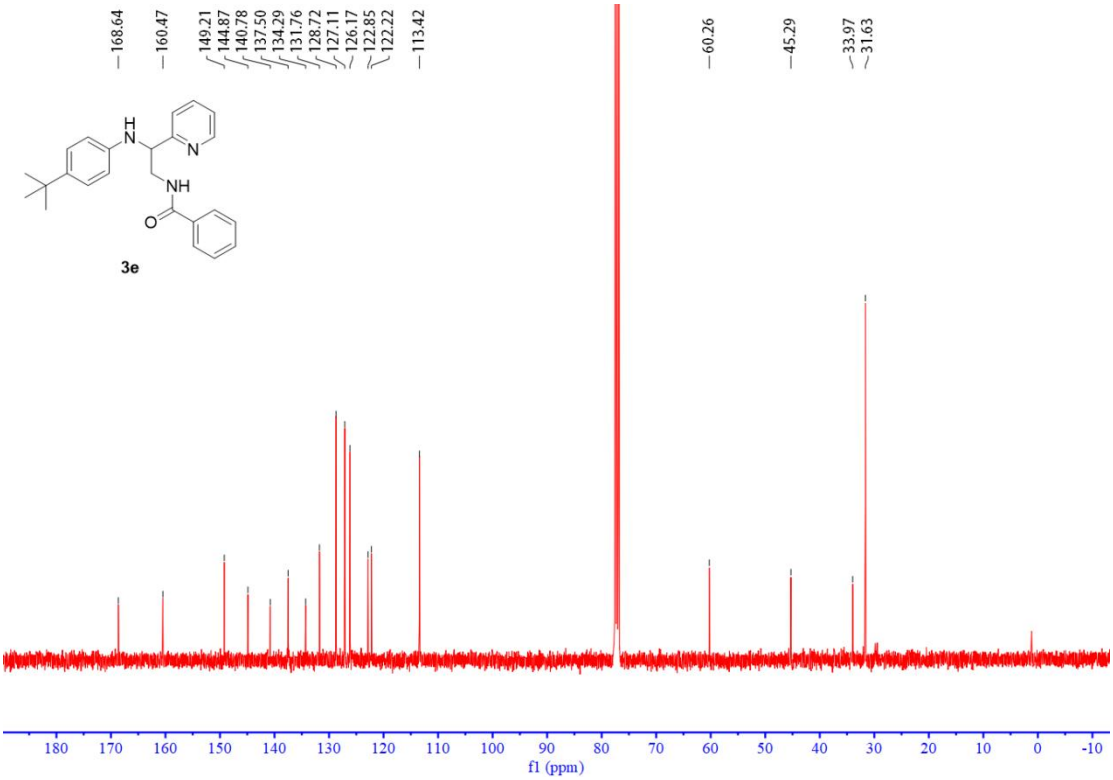
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3d**



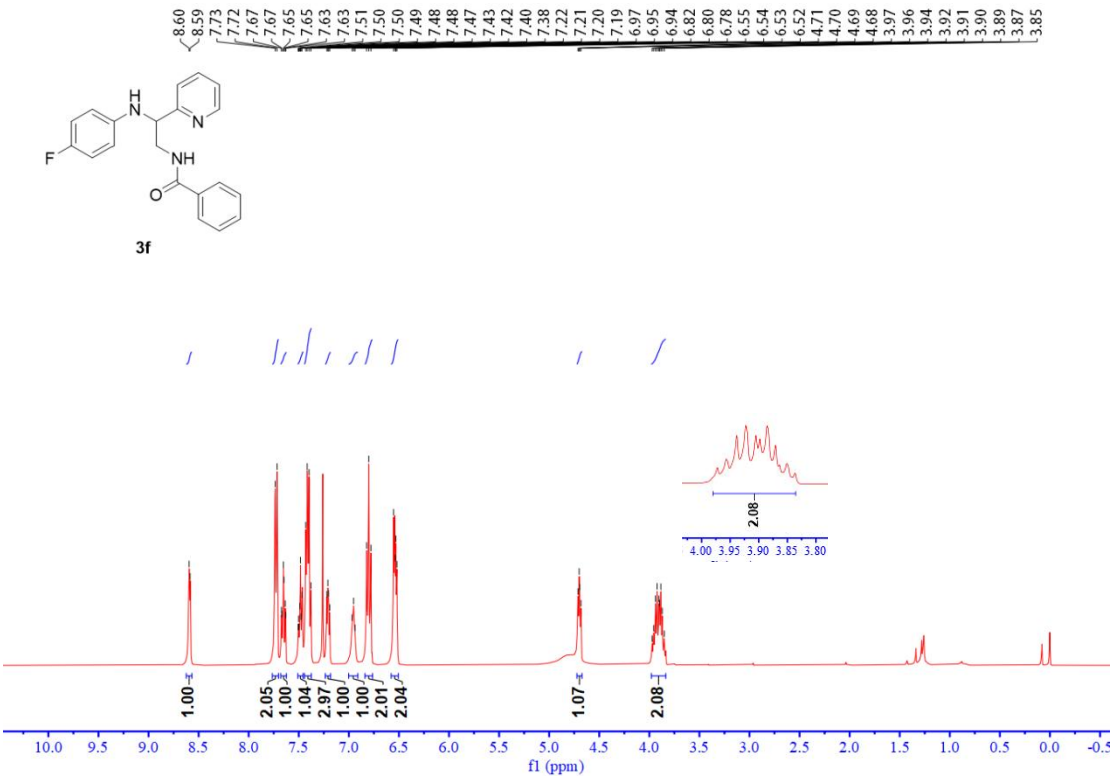
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3e**



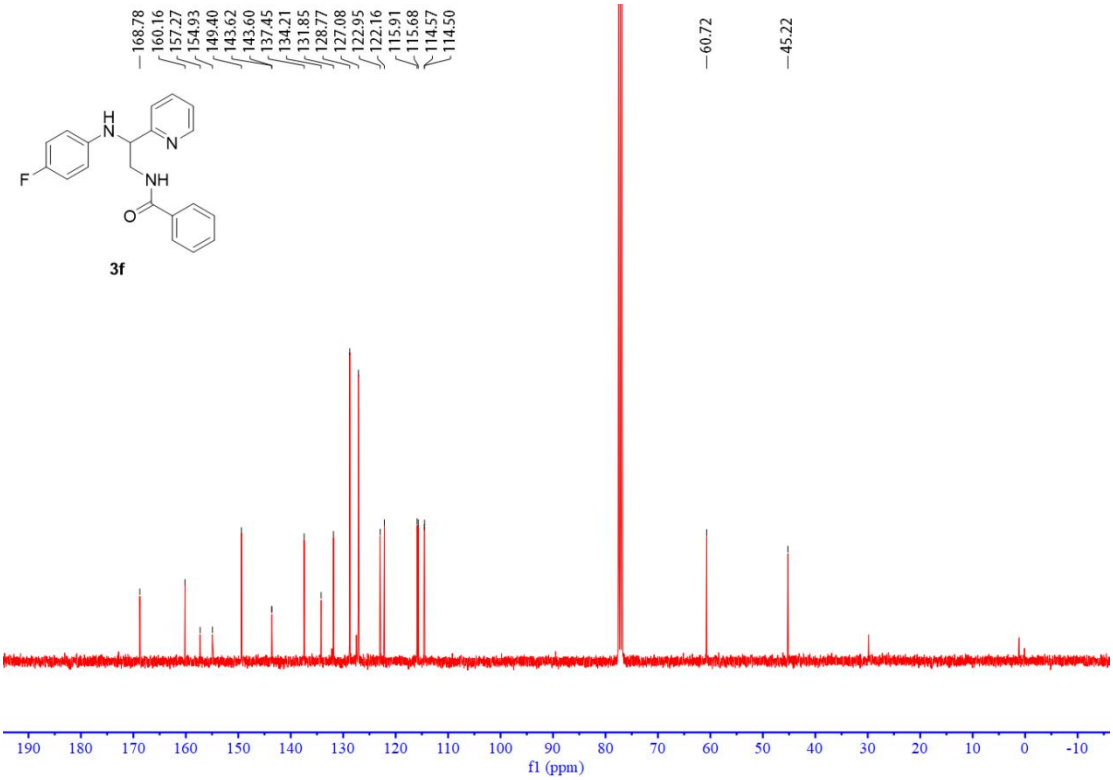
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3e**



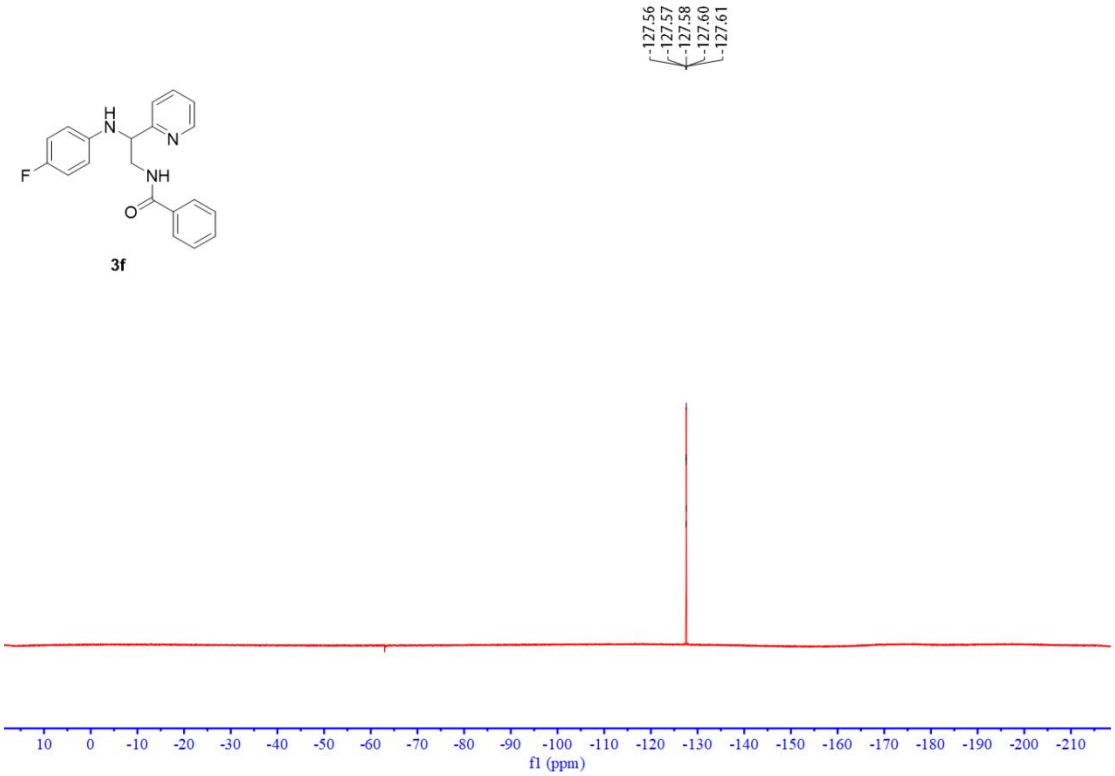
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3f**



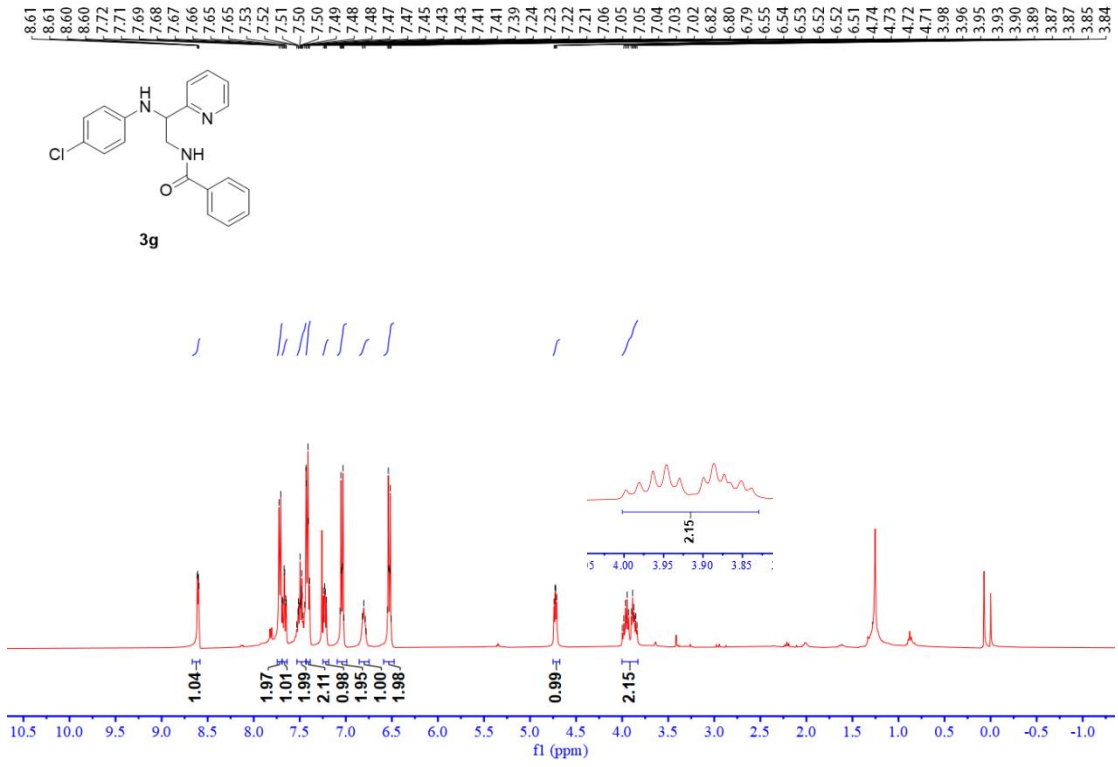
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3f**



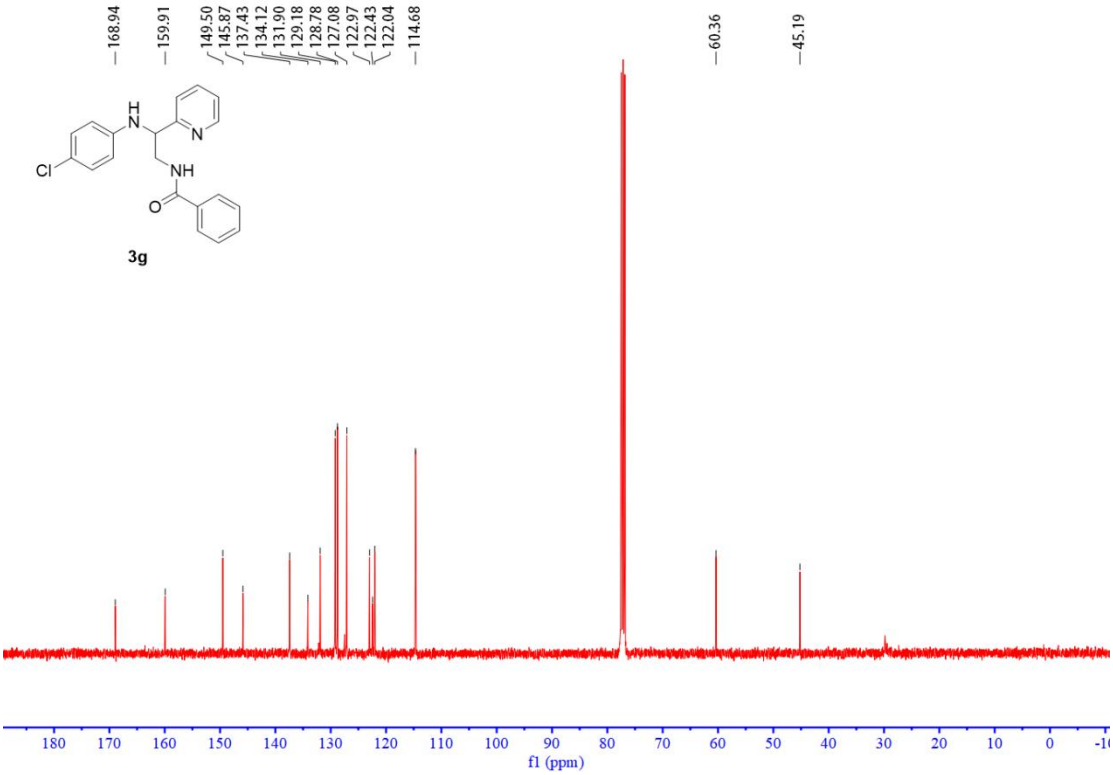
^{19}F NMR ($CDCl_3$, 376 MHz) spectra of compound **3f**



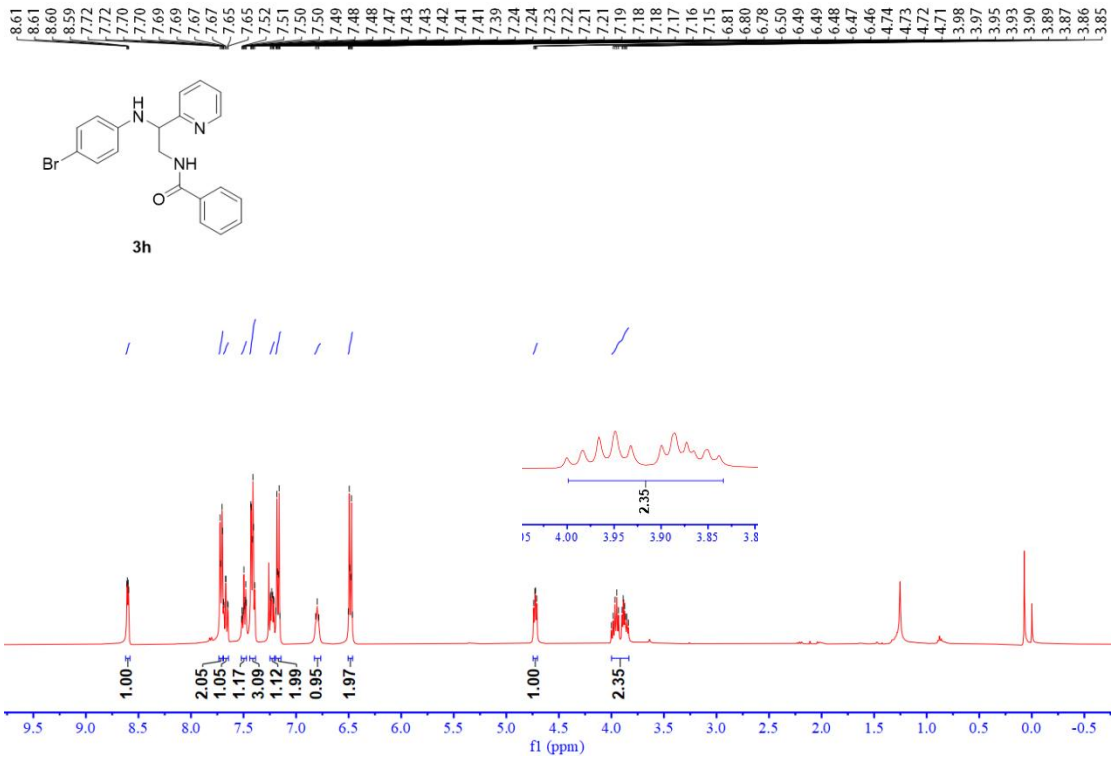
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3g**



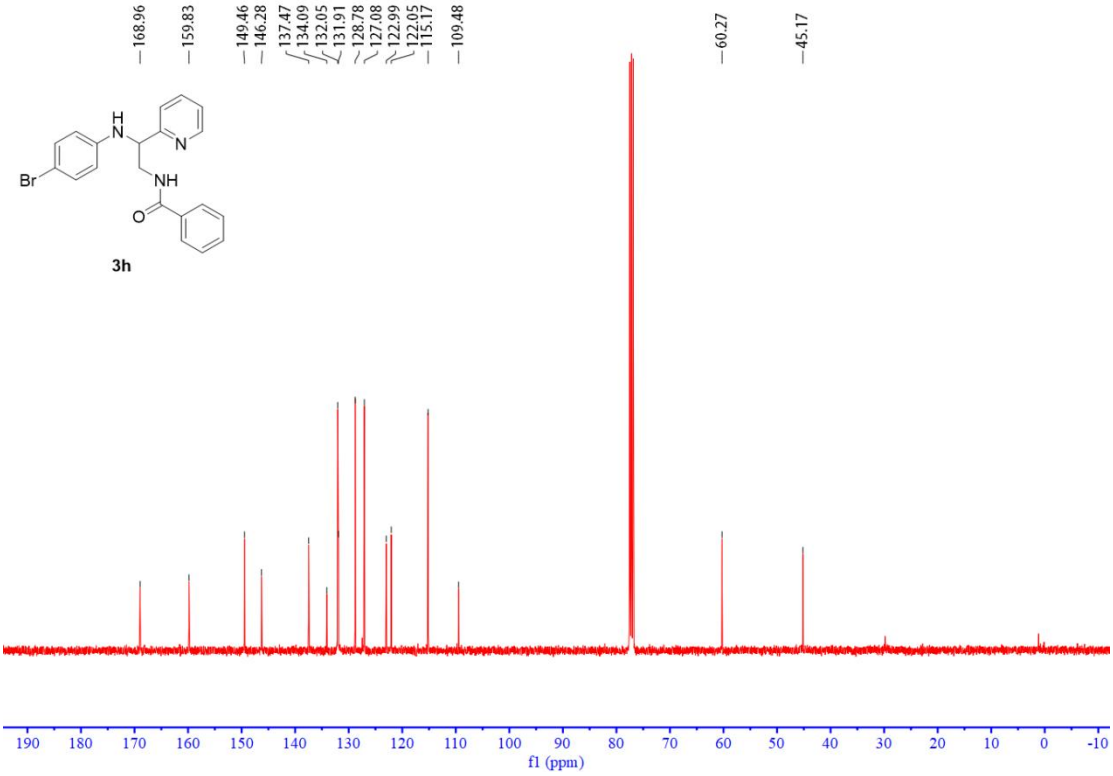
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3g**



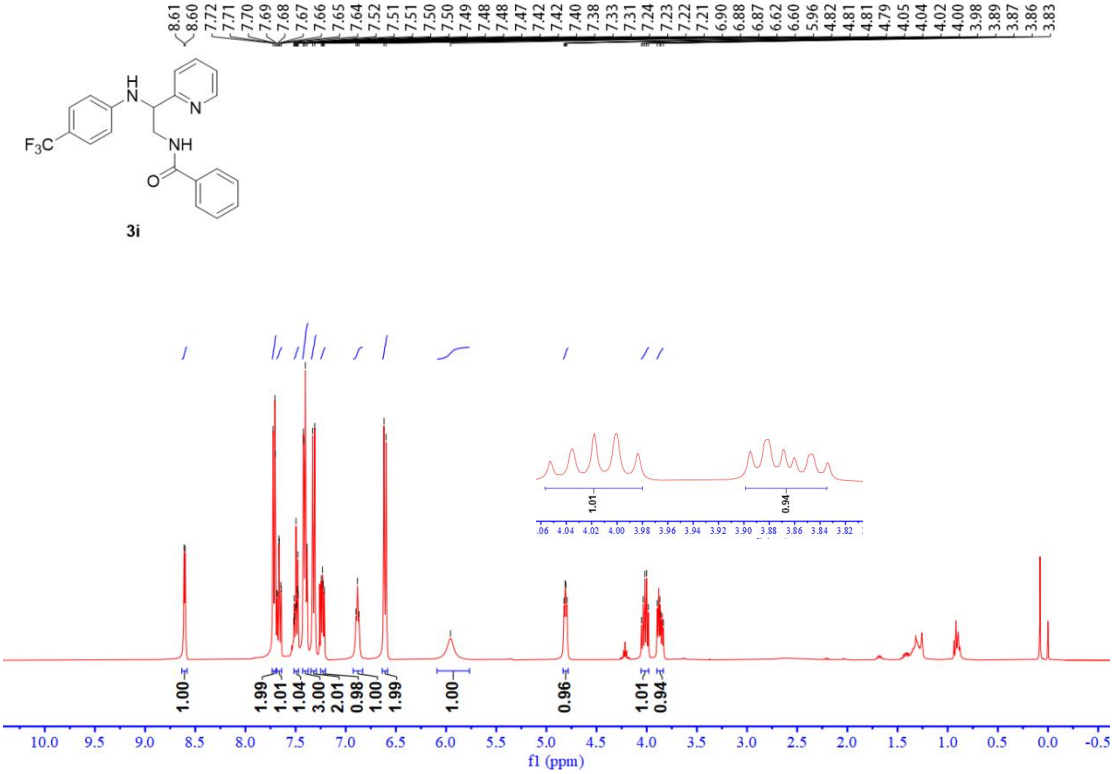
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3h**



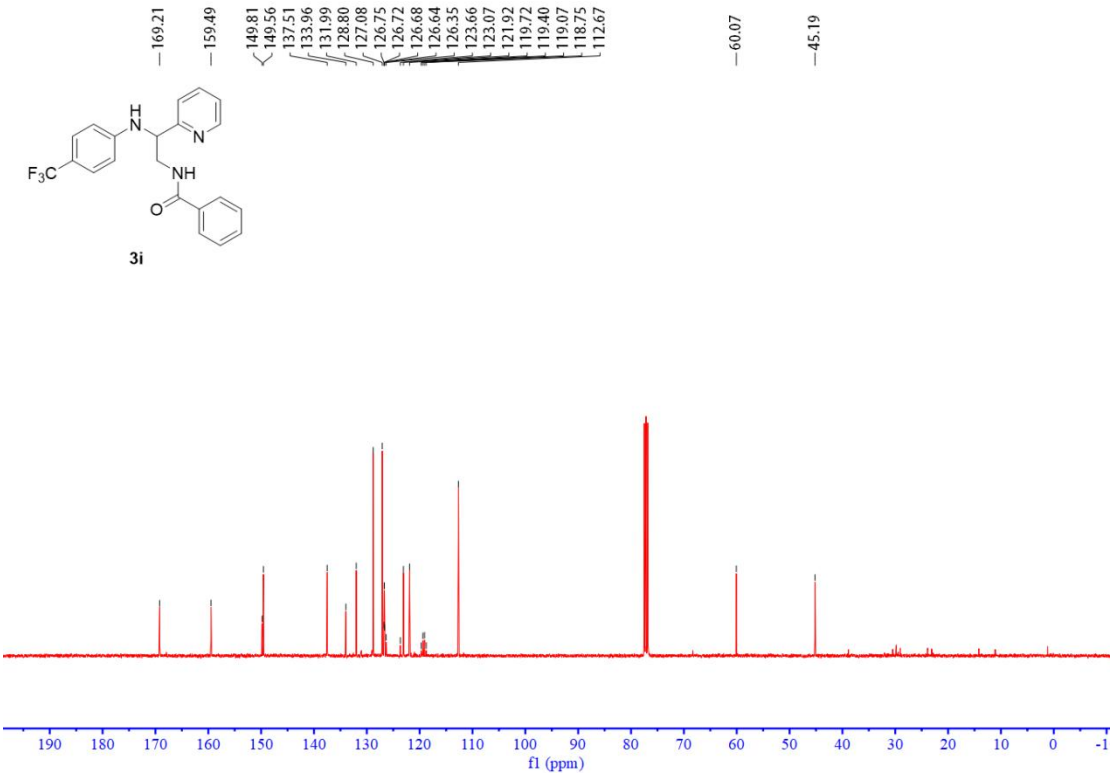
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3h**



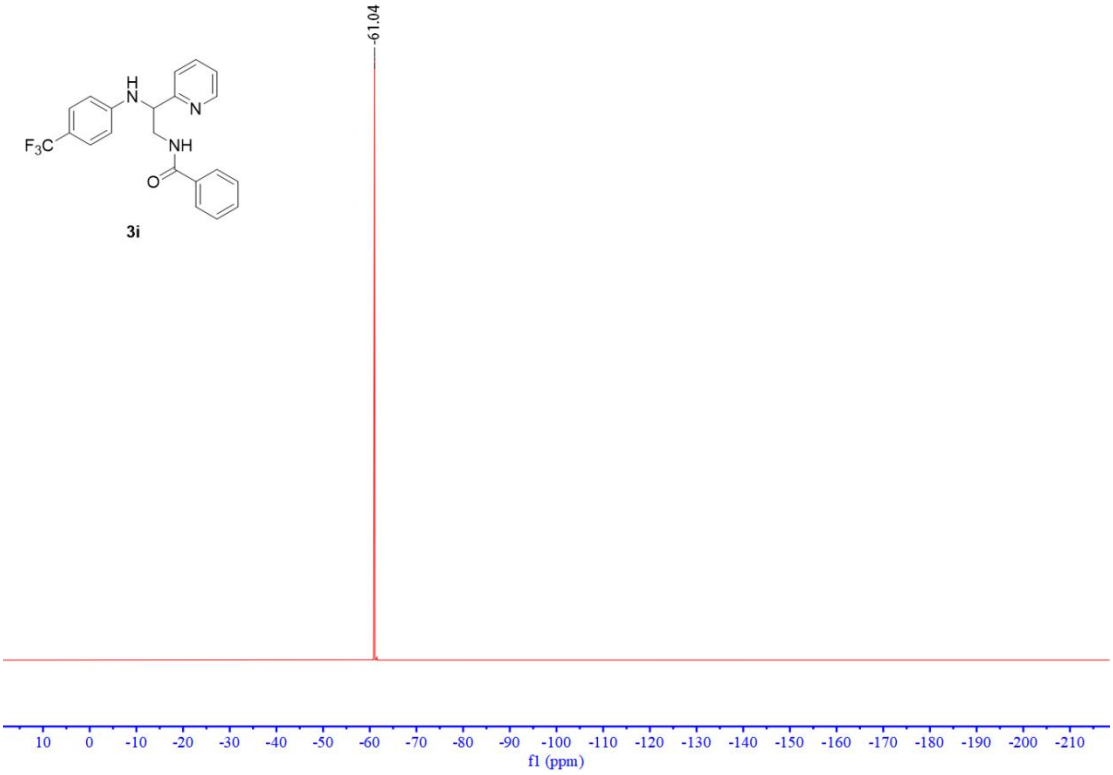
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3i**



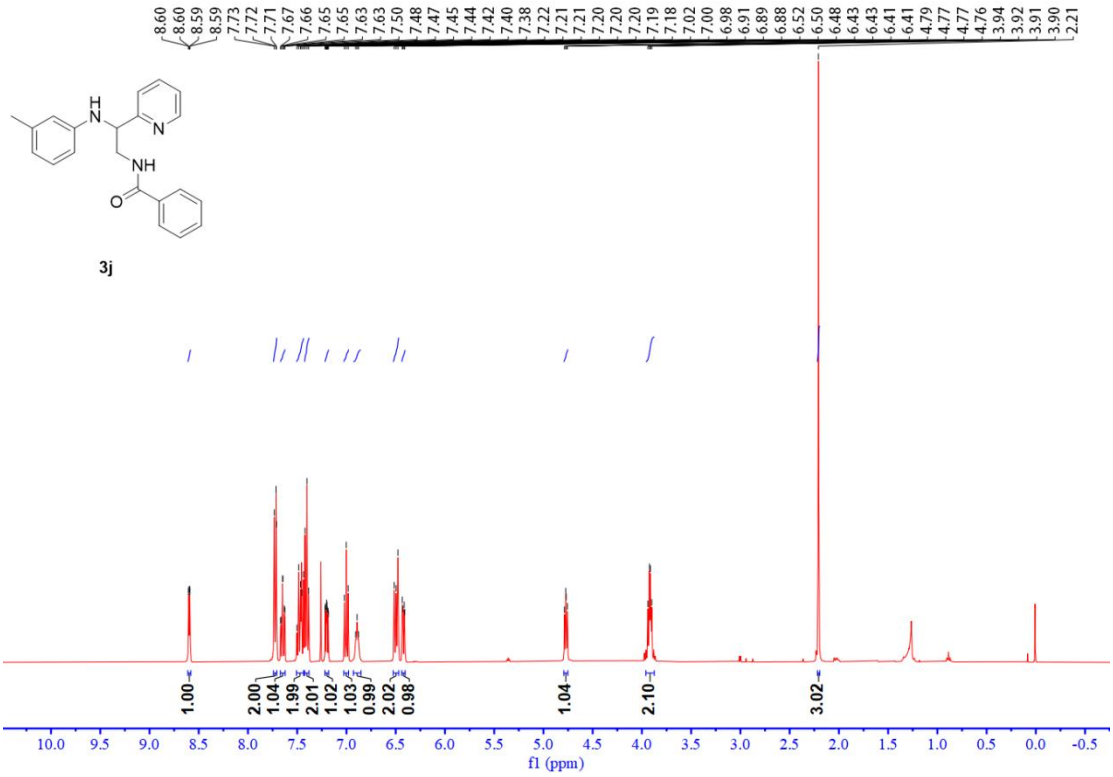
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3i**



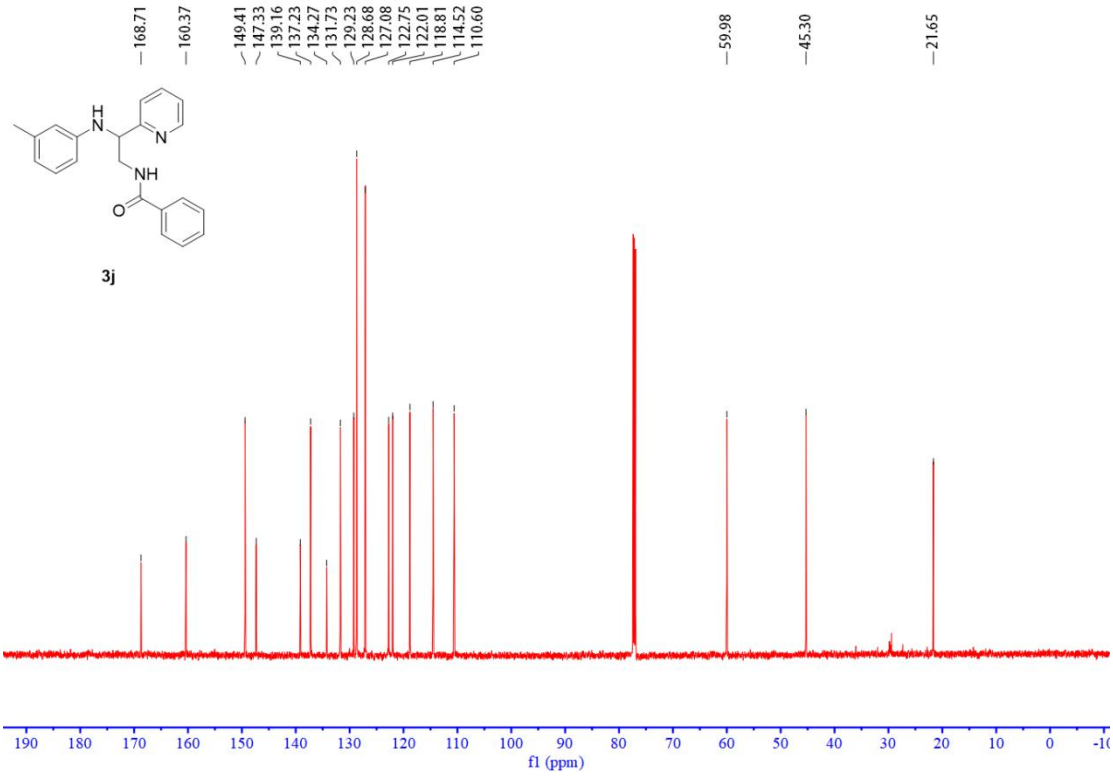
^{19}F NMR (CDCl3, 376 MHz) spectra of compound **3i**



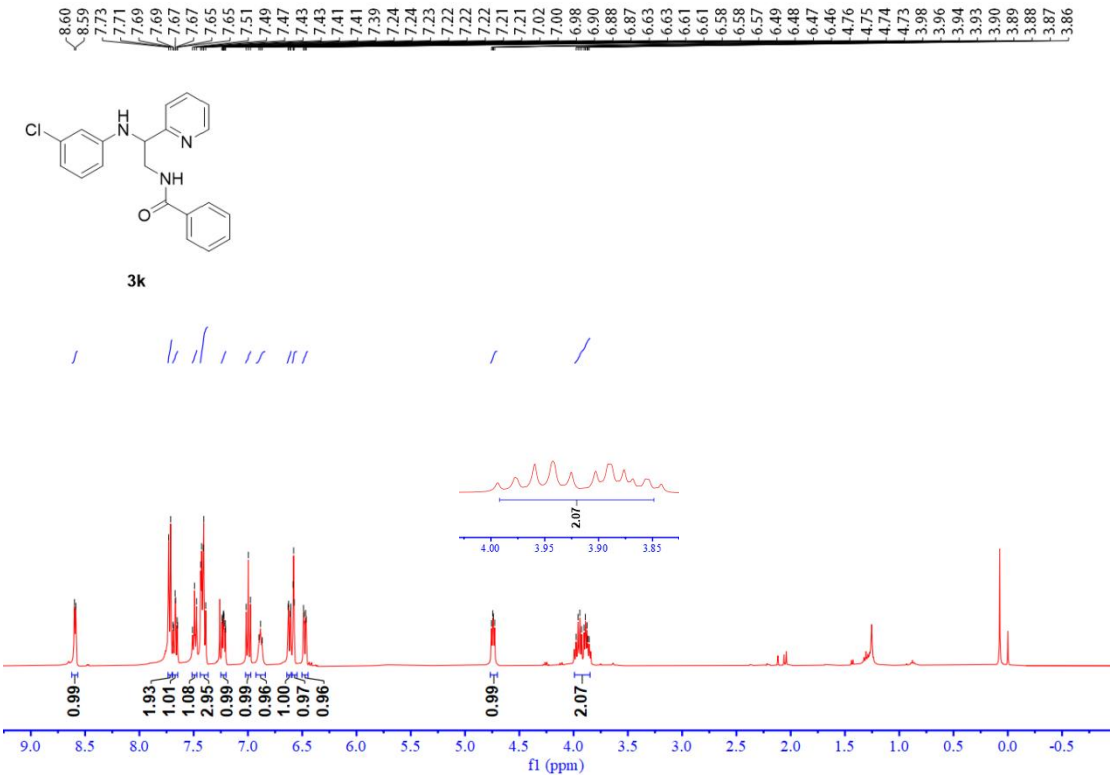
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3j**



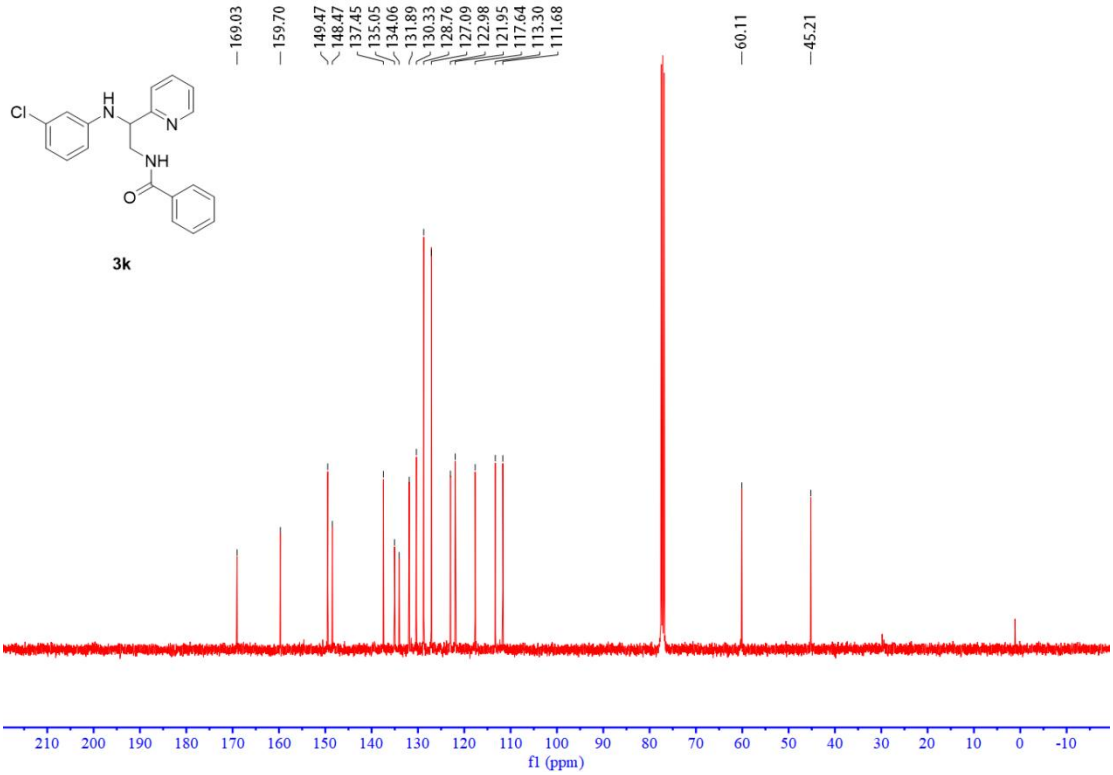
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3j**



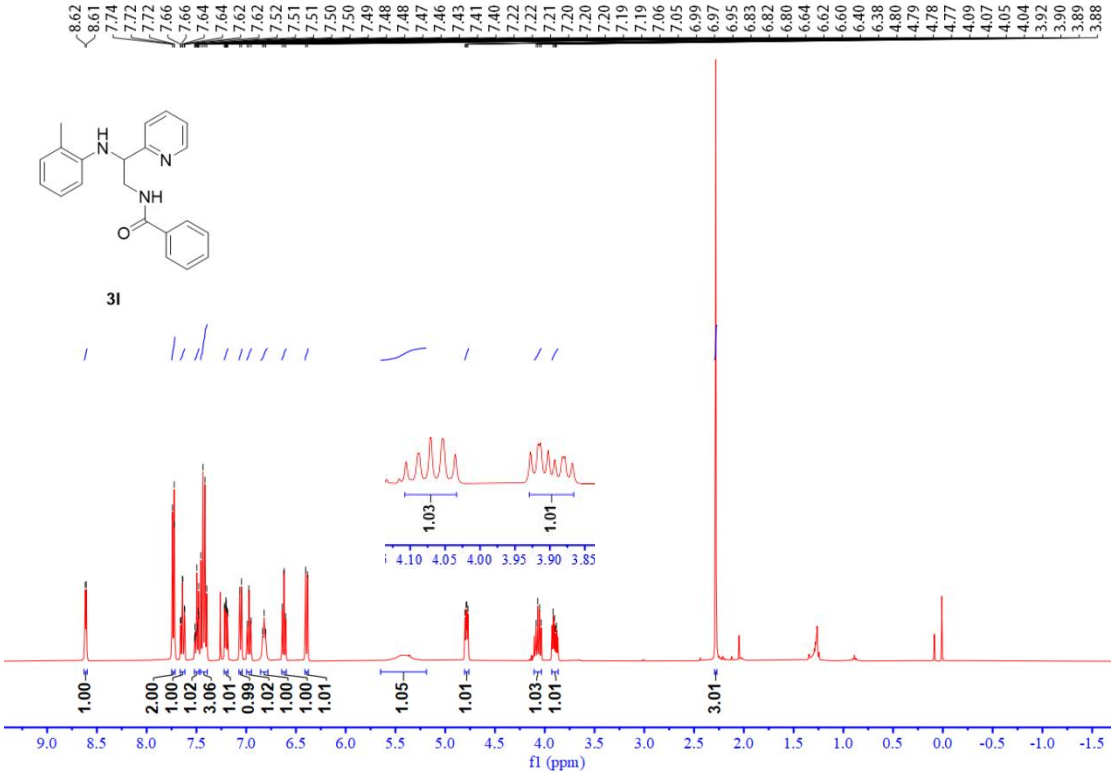
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3k**



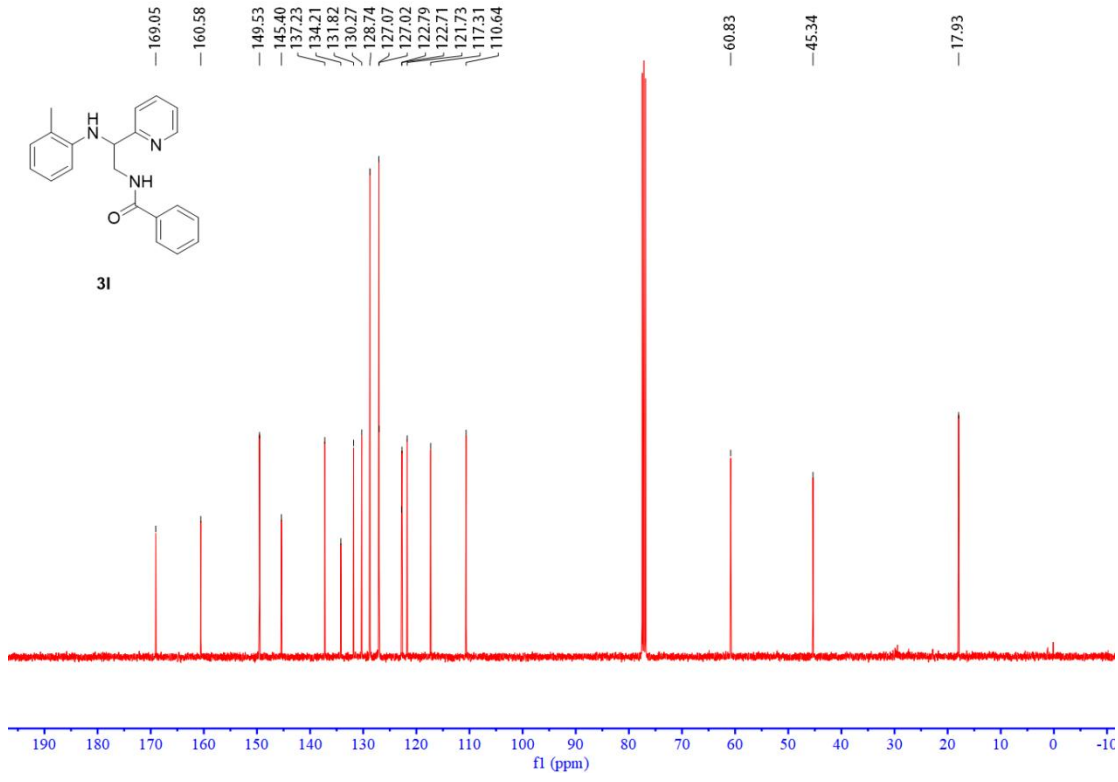
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3k**



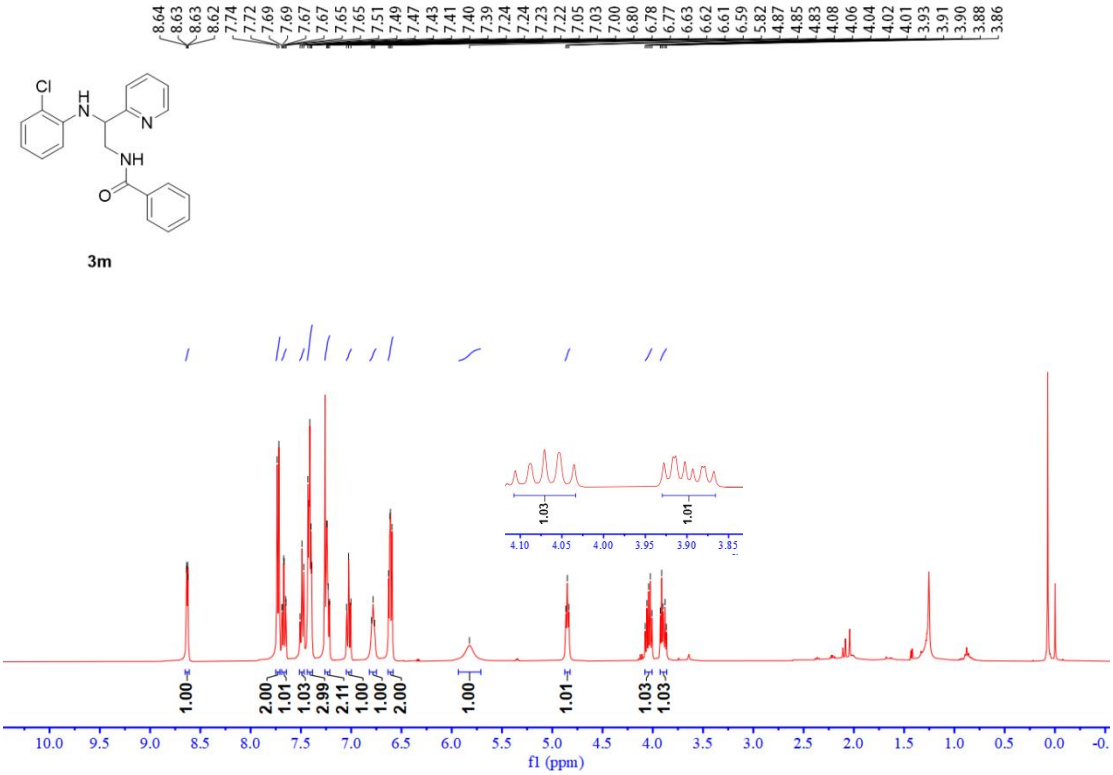
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3l**



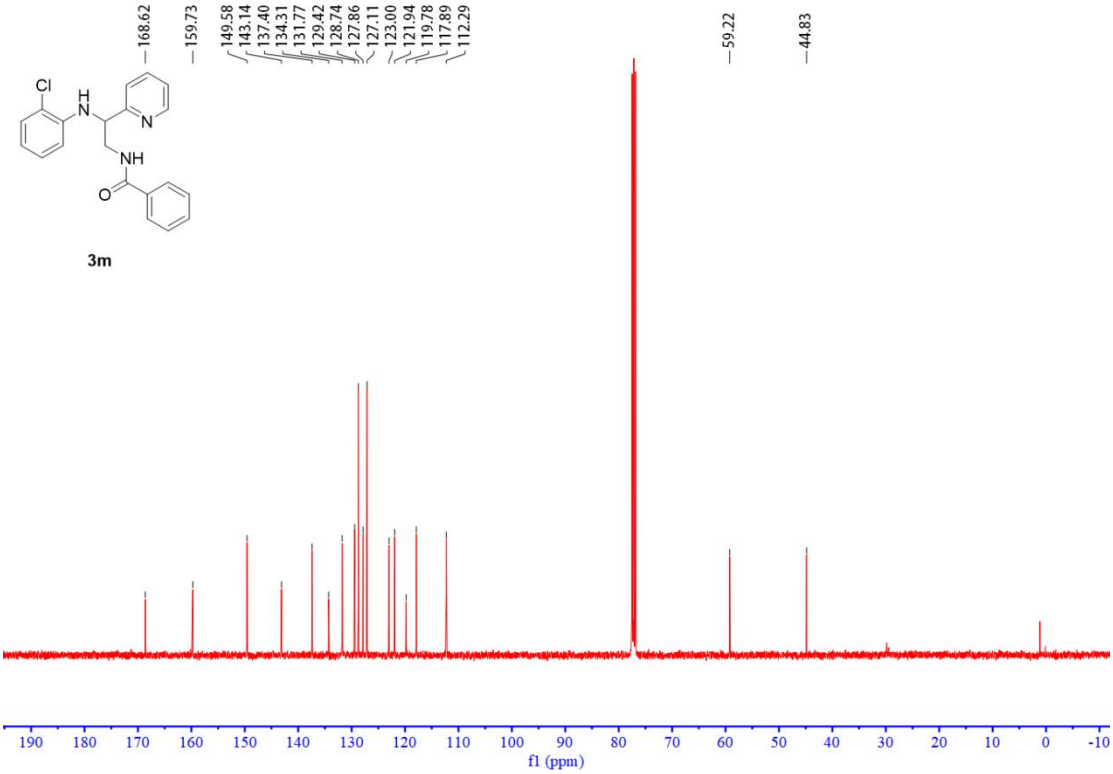
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3l**



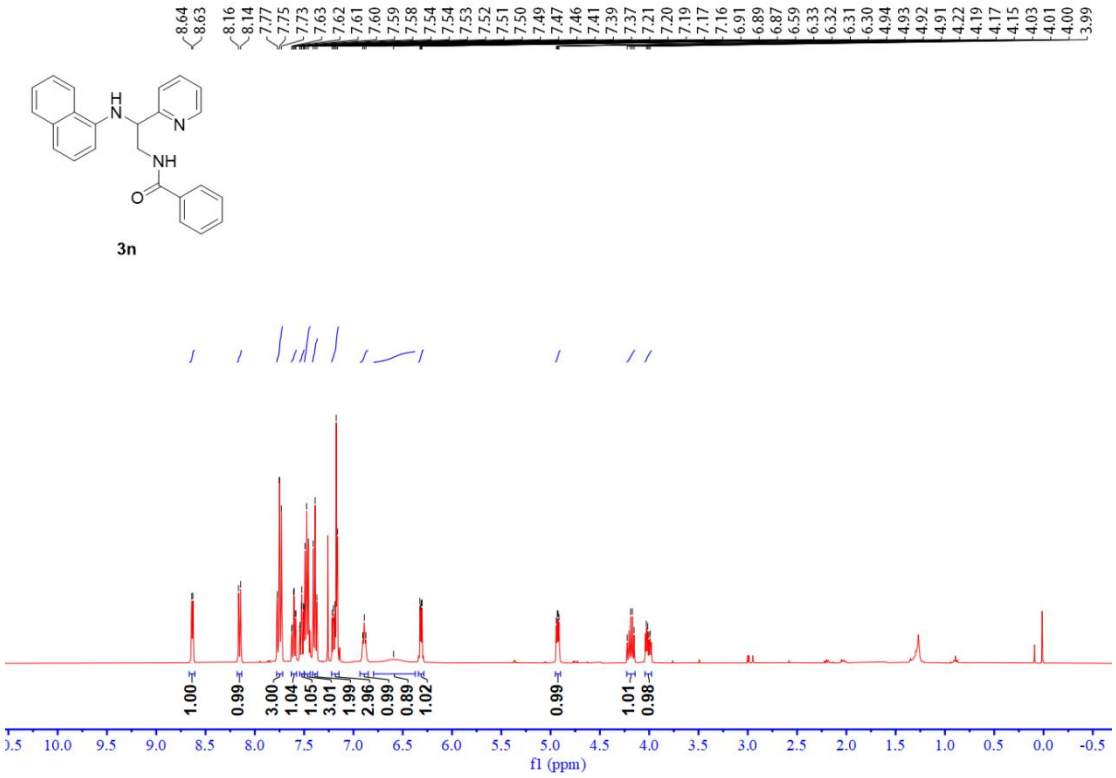
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3m**



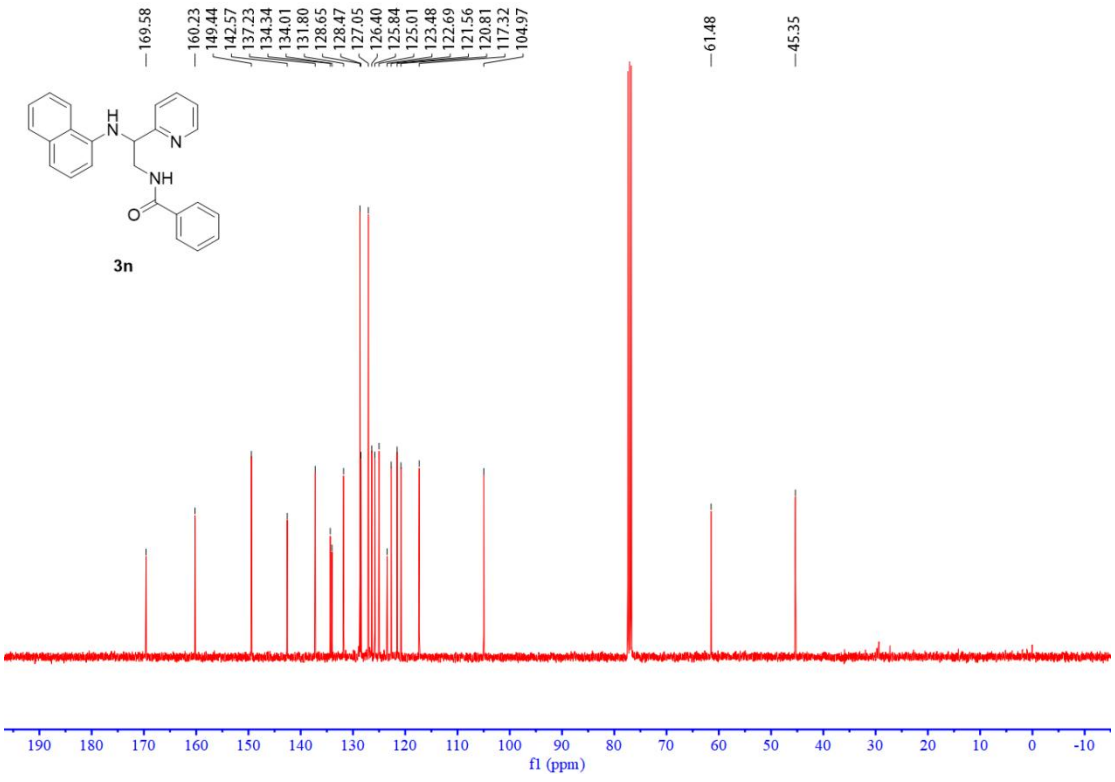
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3m**



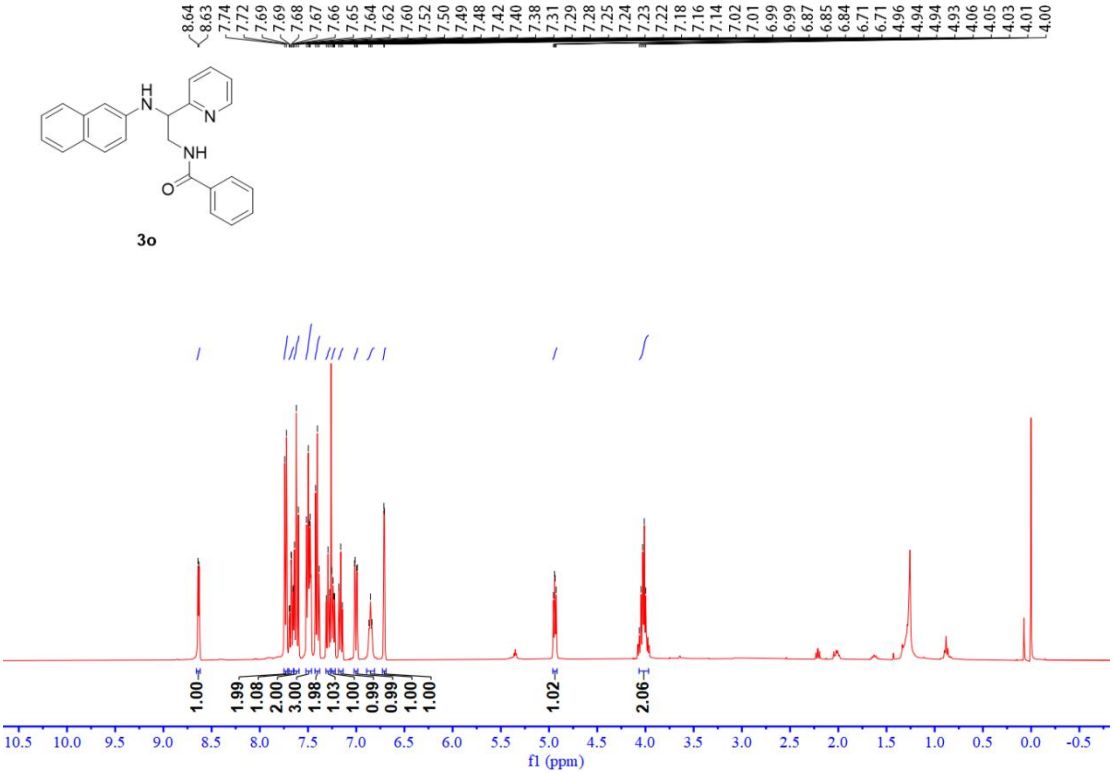
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3n**



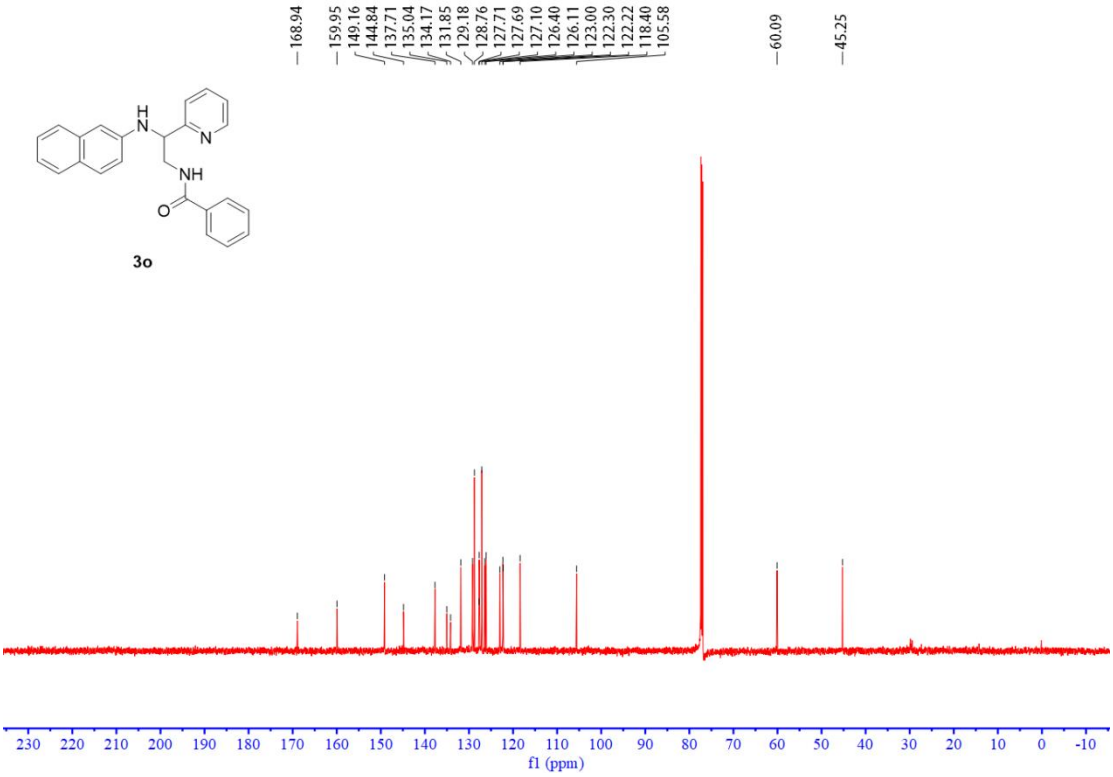
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3n**



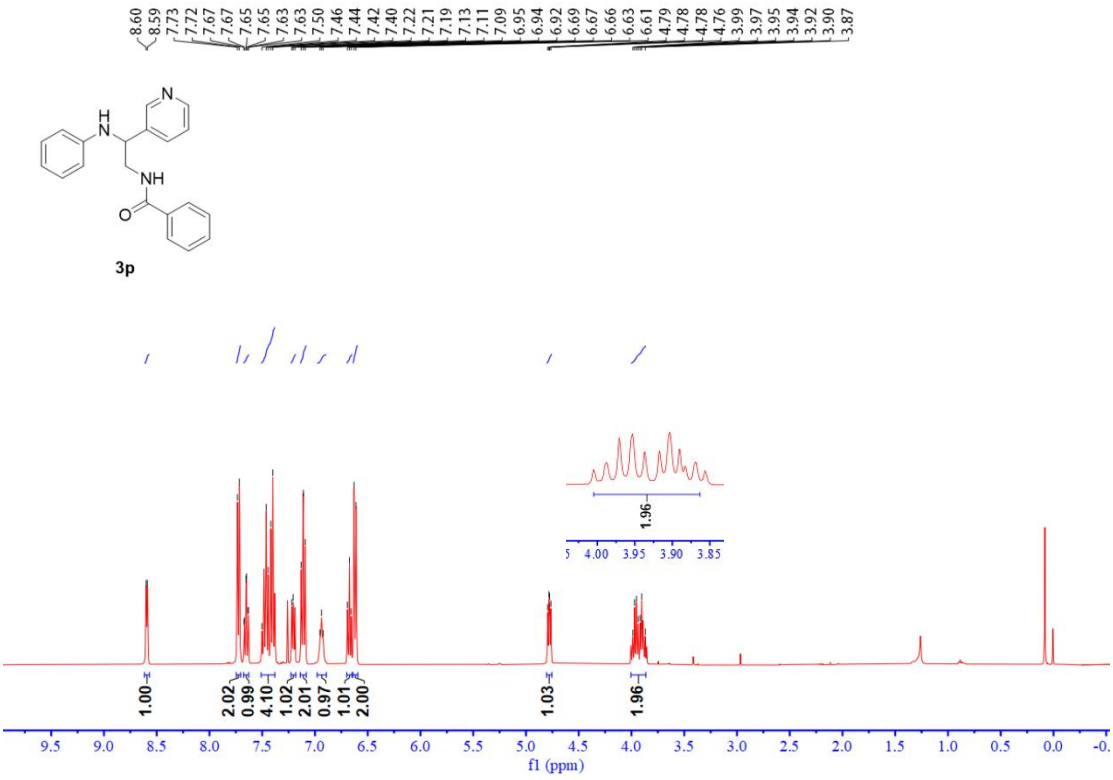
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3o**



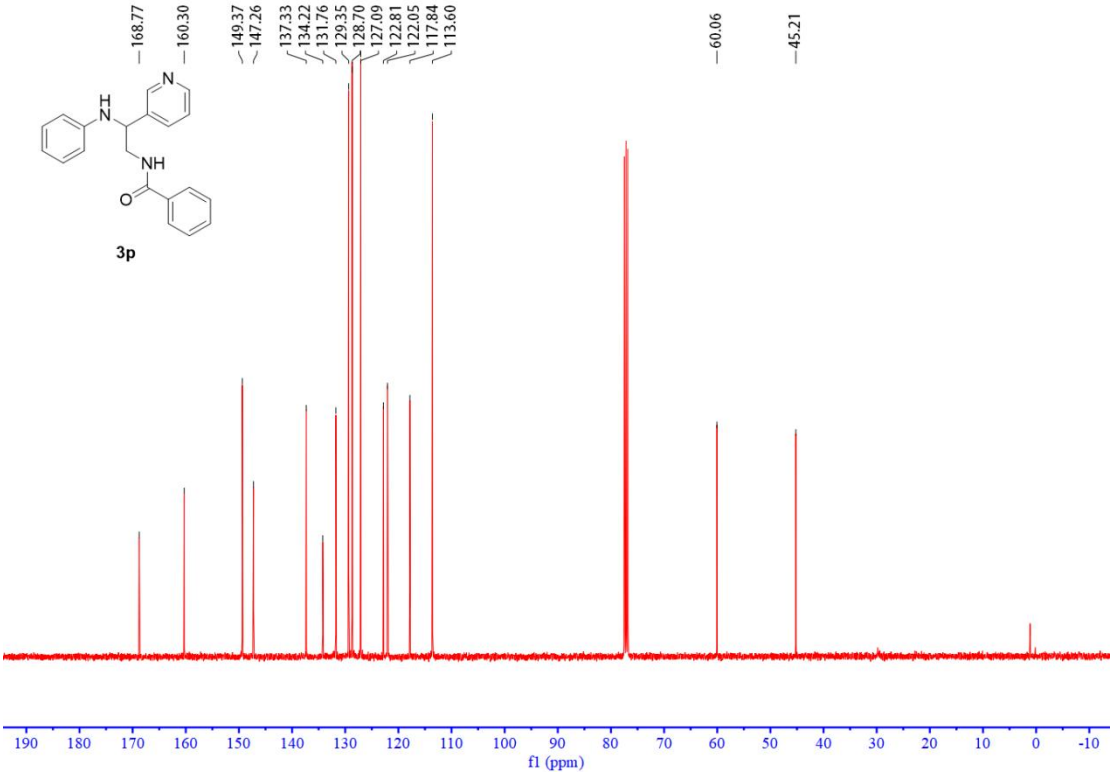
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3o**



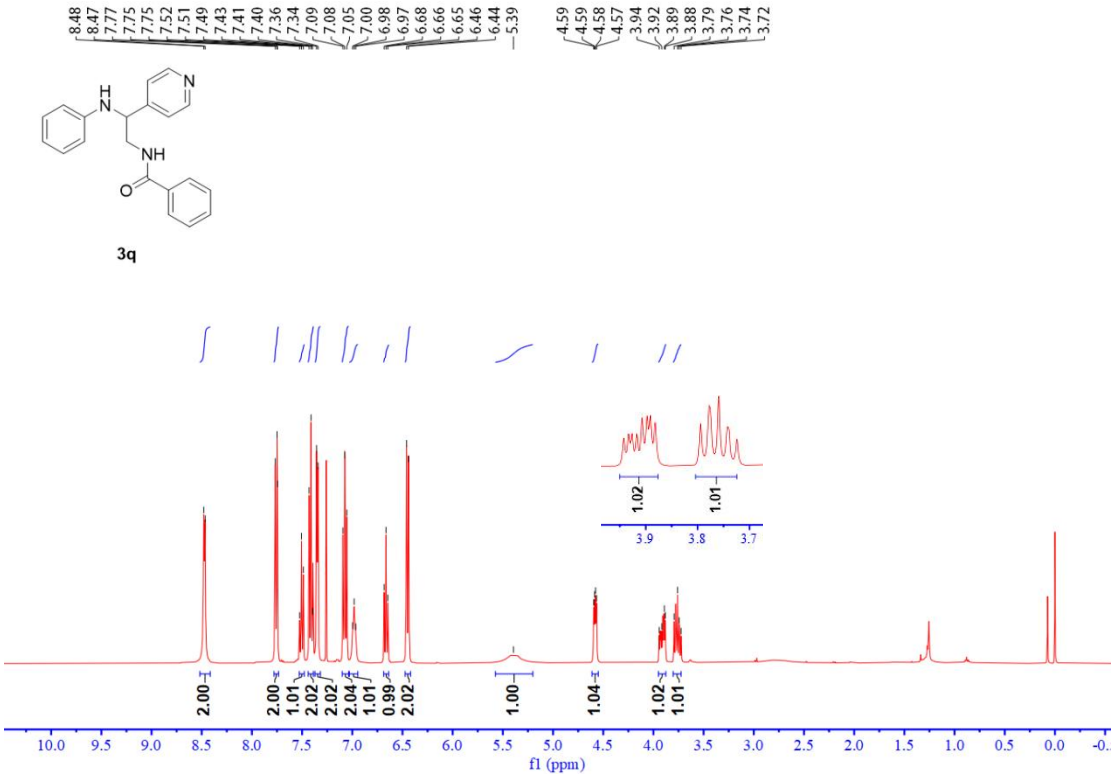
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3p**



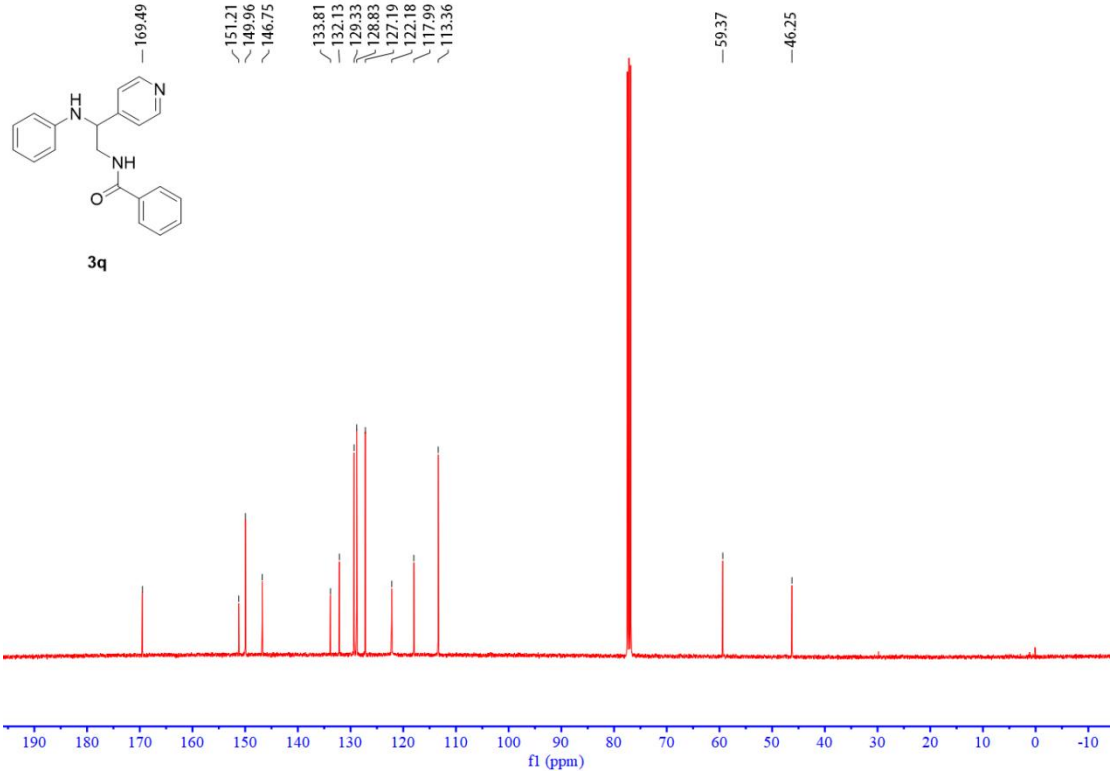
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3p**



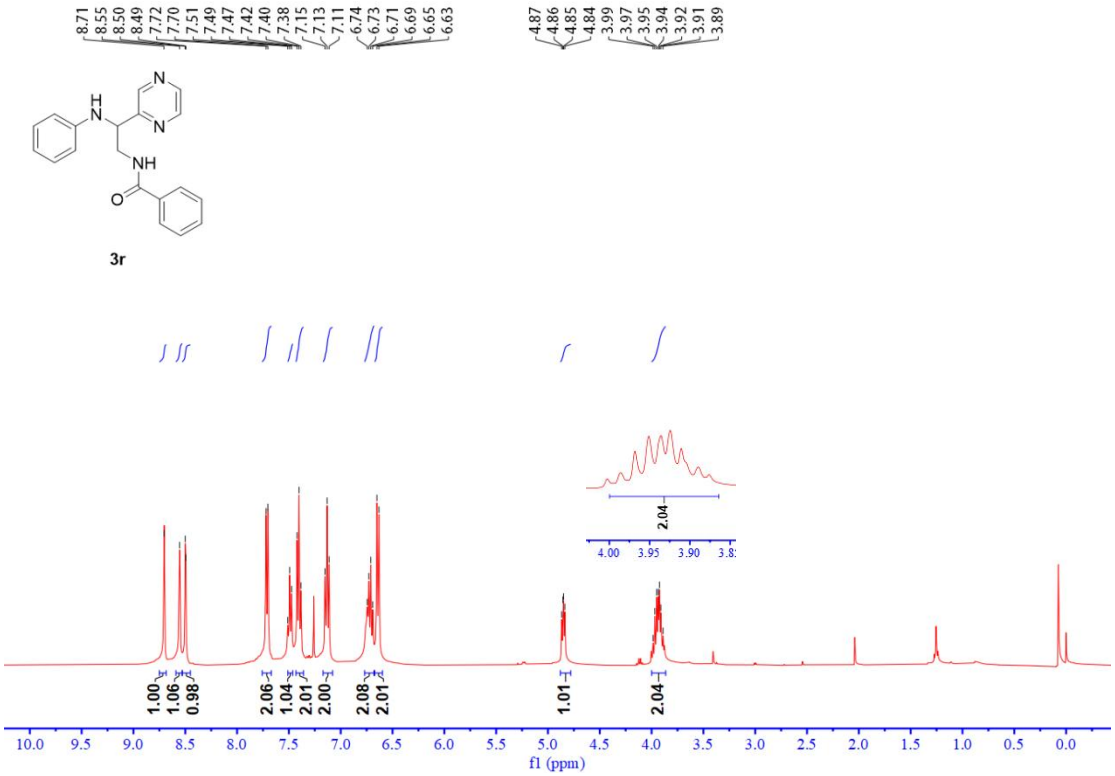
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3q**



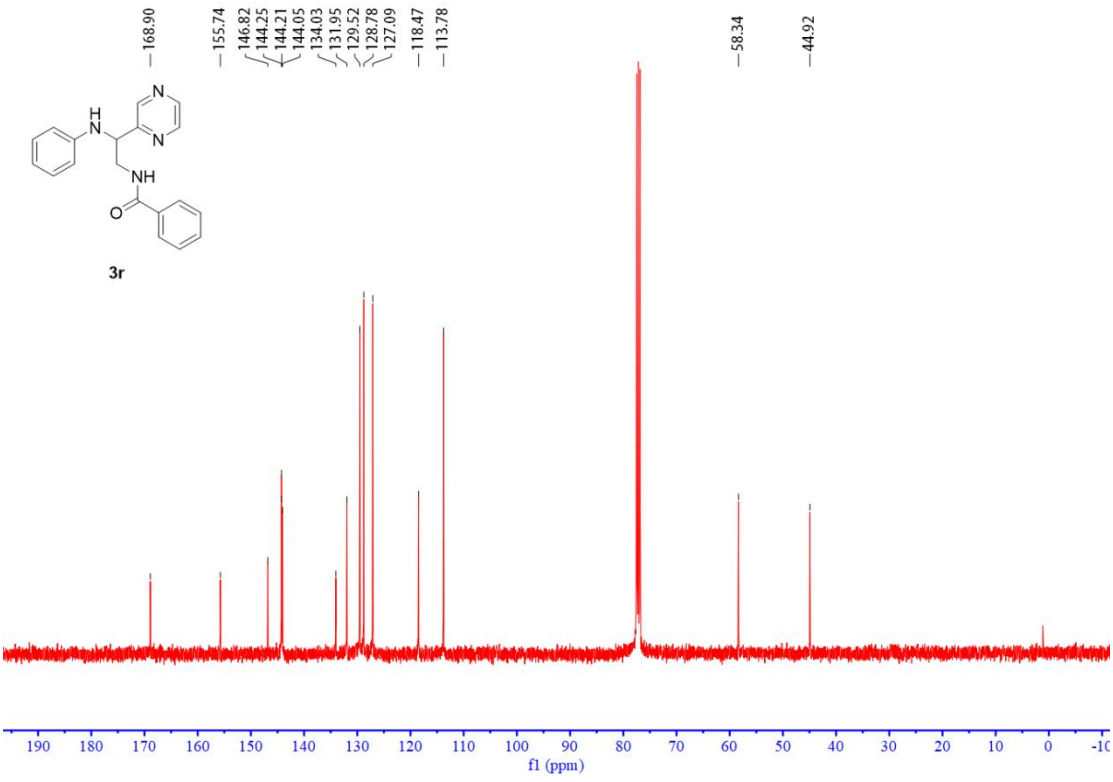
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3q**



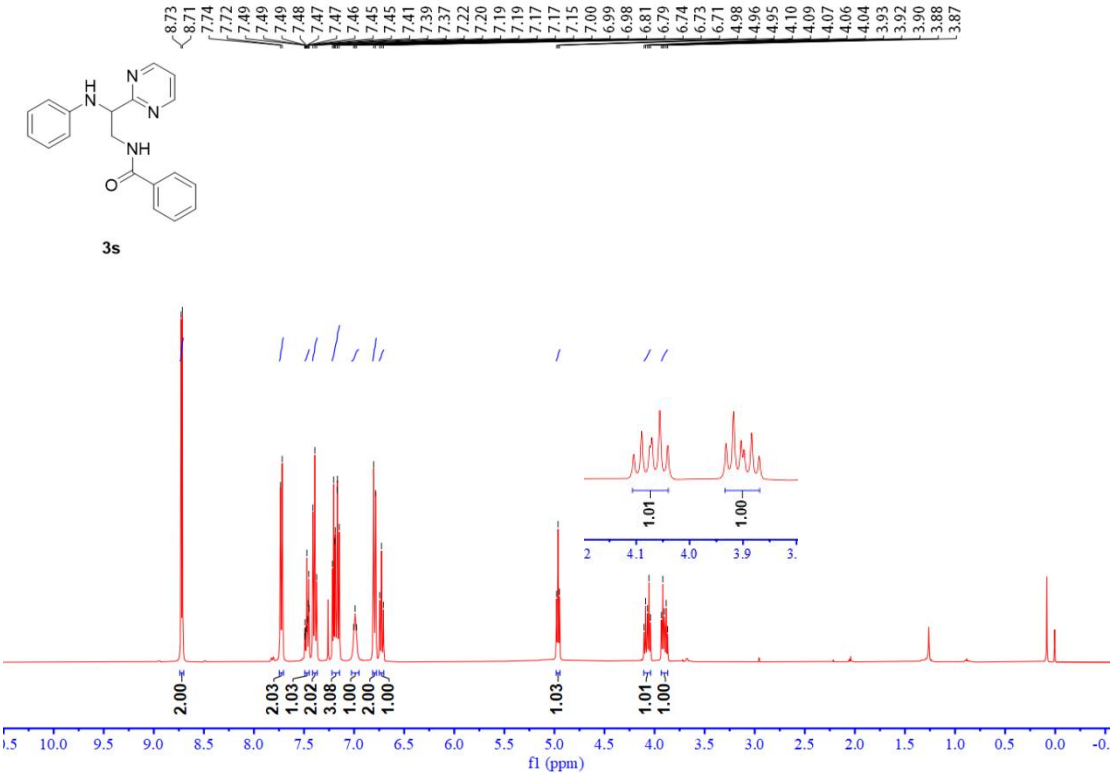
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3r**



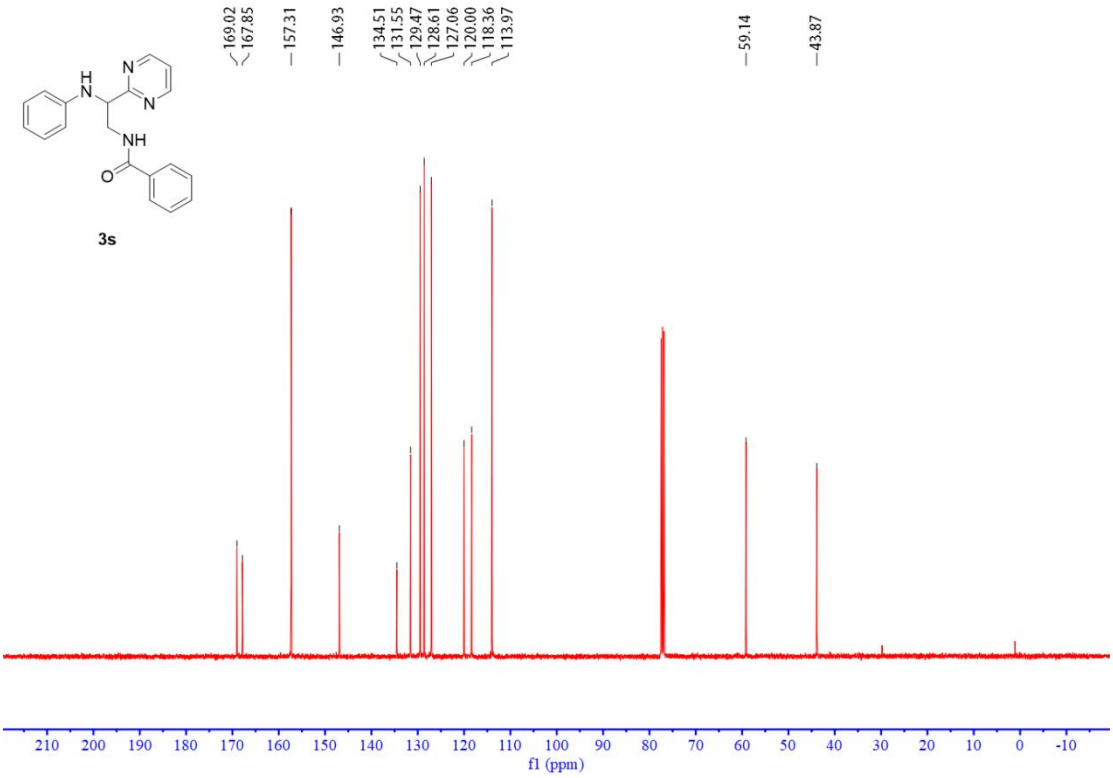
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3r**



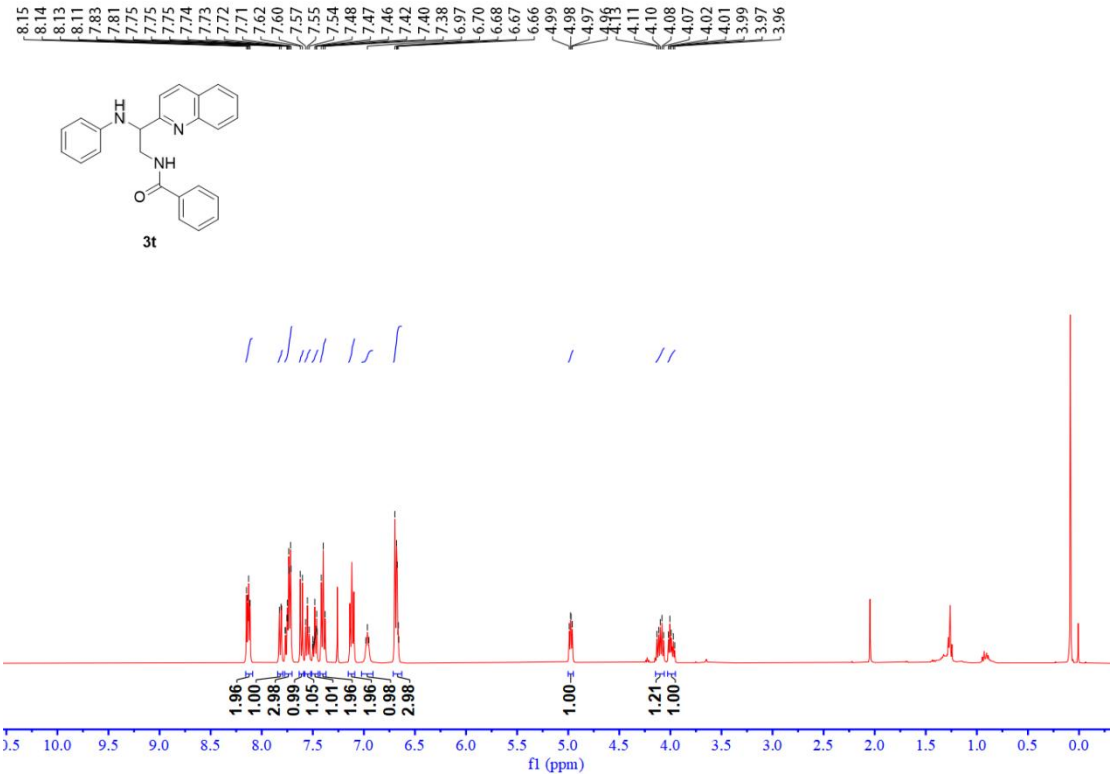
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3s**



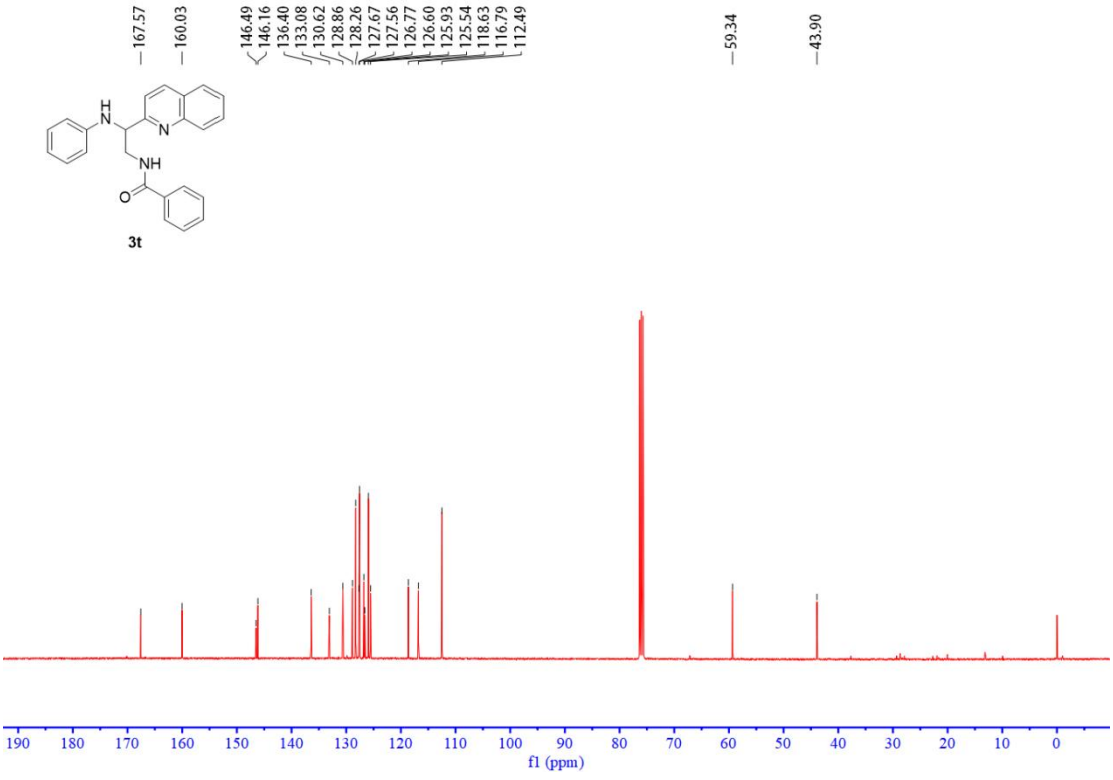
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3s**



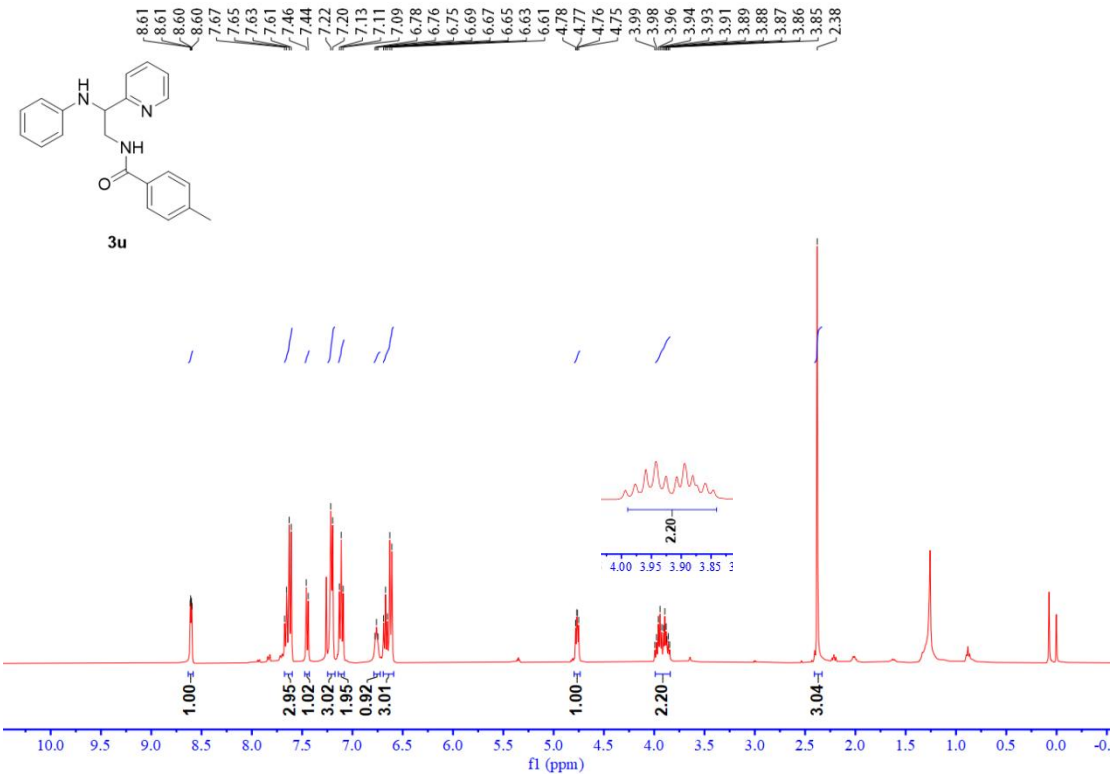
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3t**



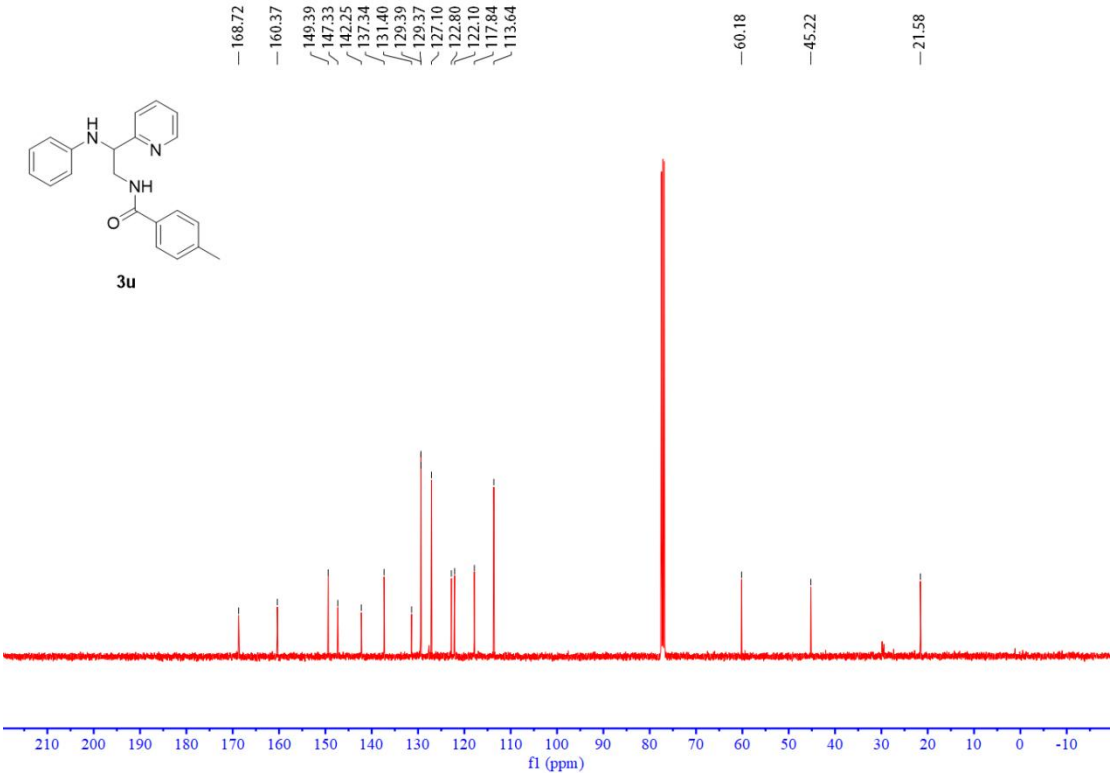
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3t**



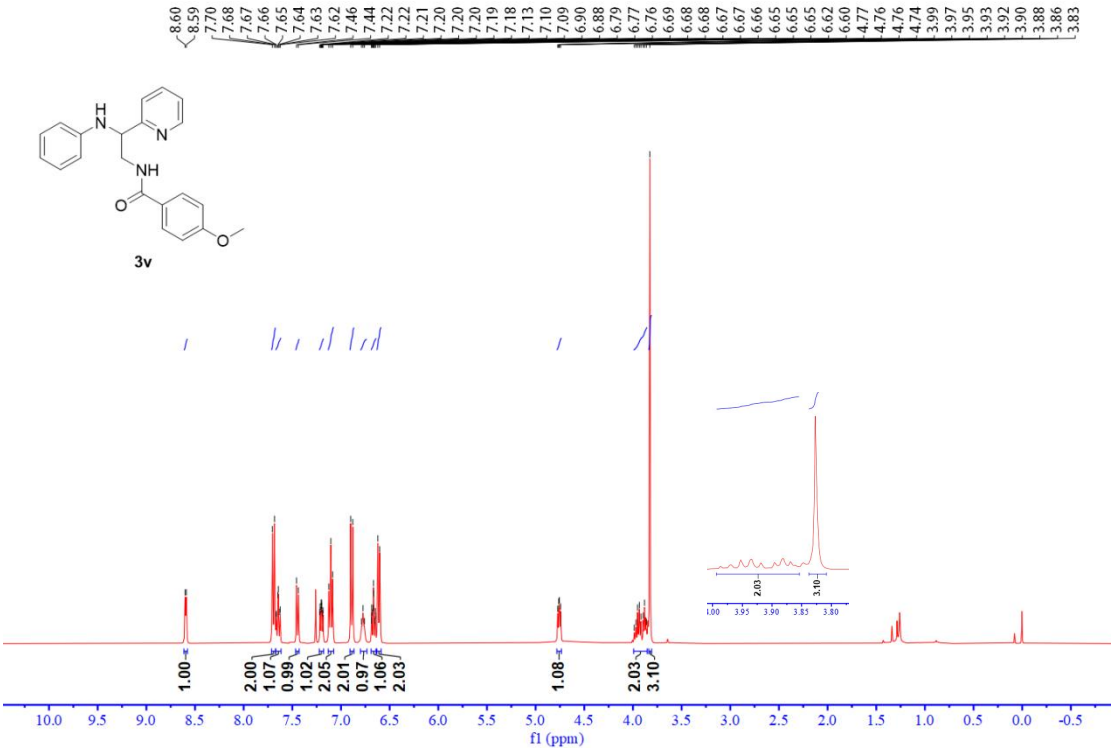
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3u**



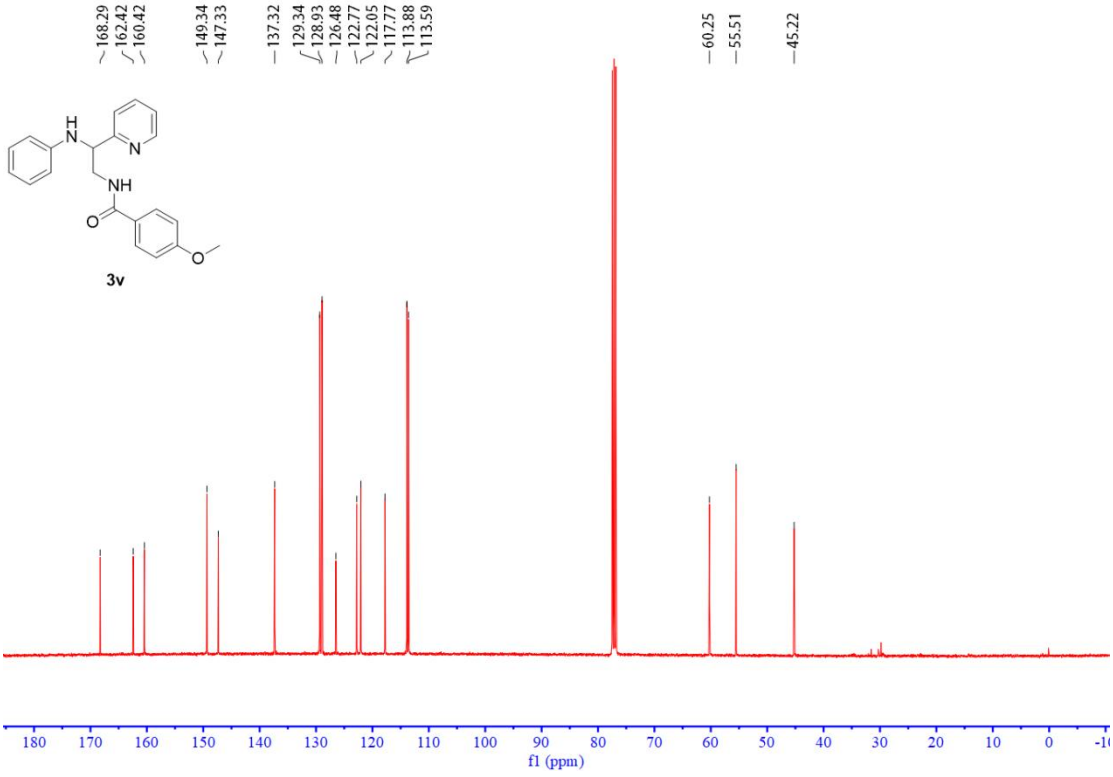
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3u**



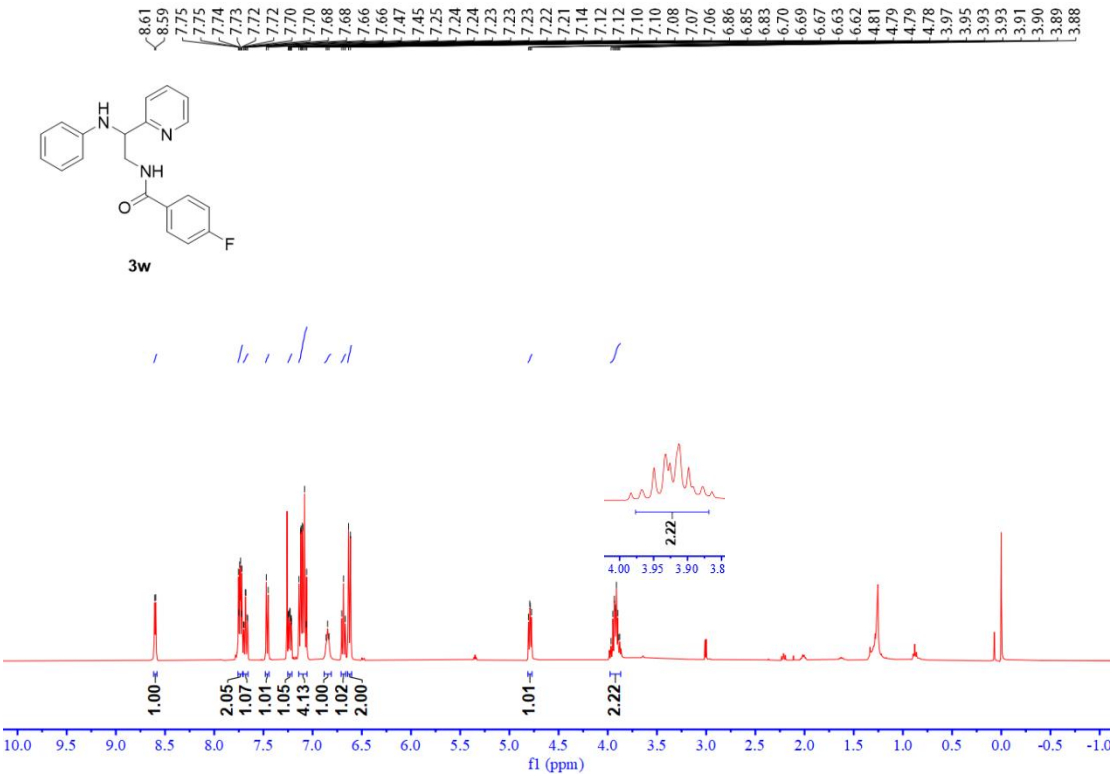
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3v**



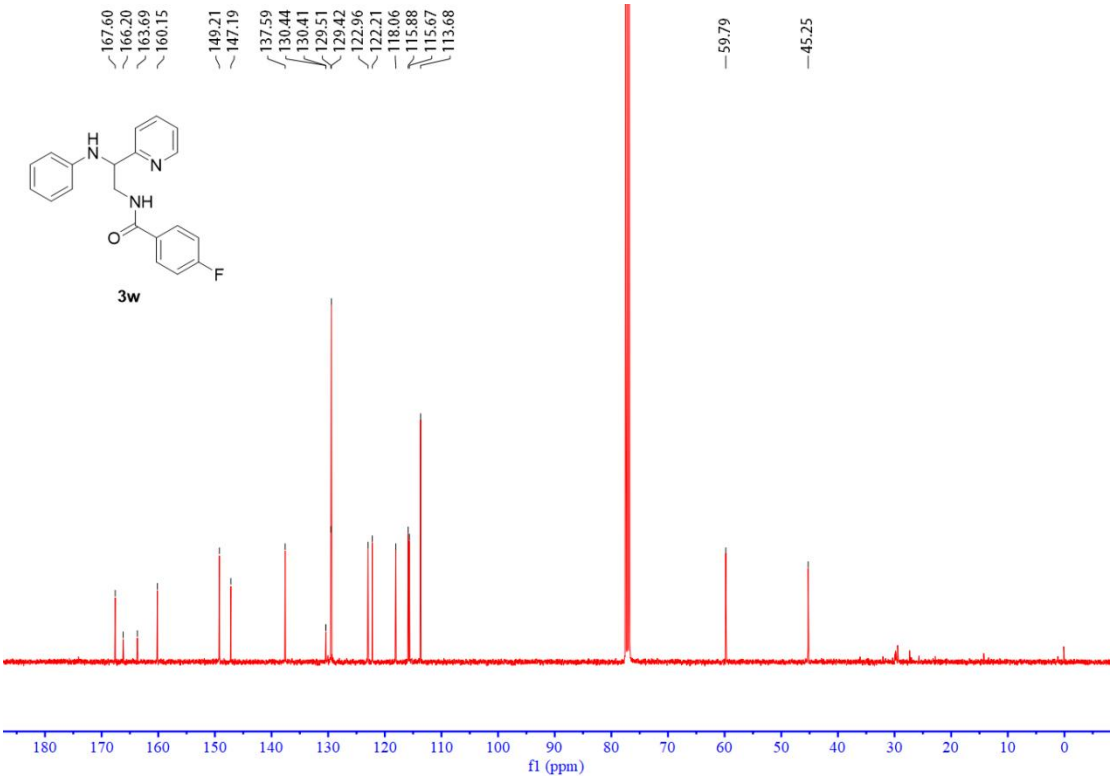
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3v**



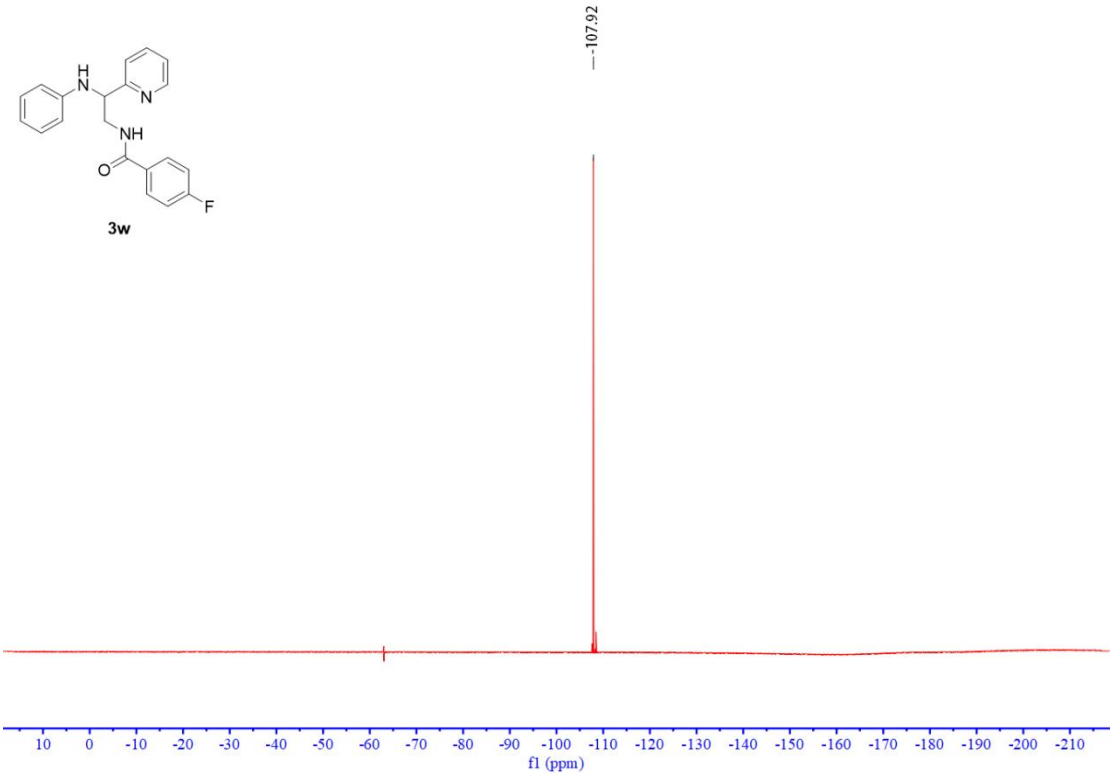
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3w**



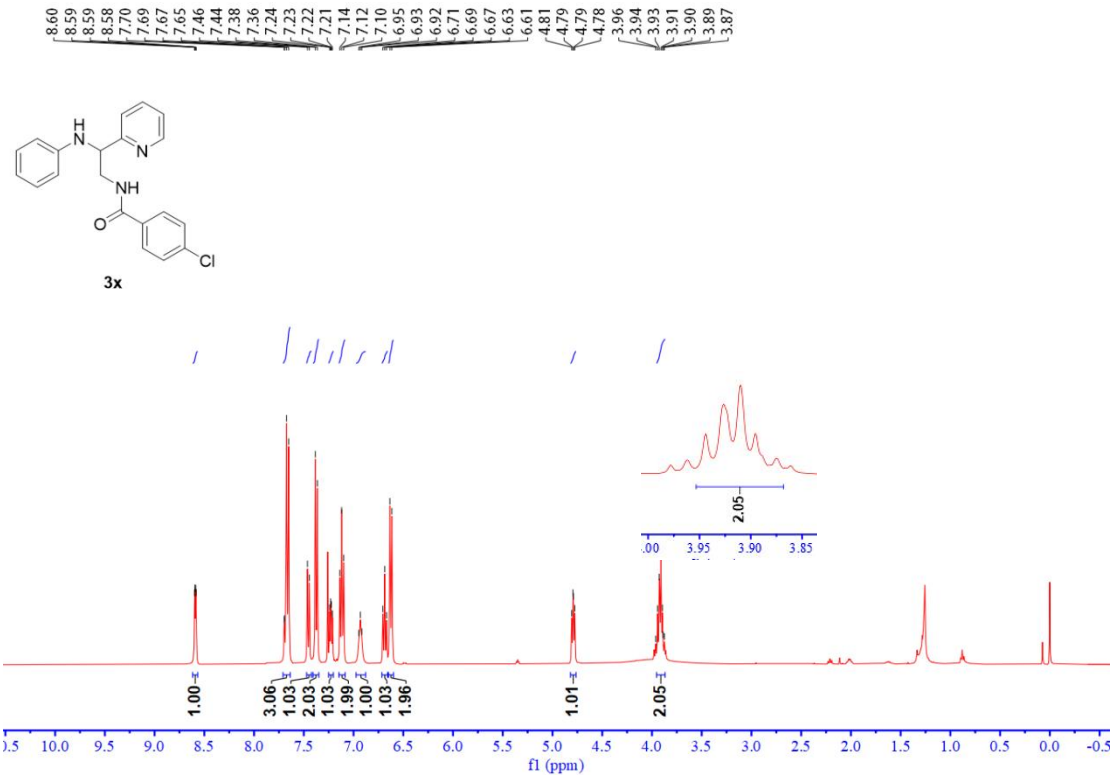
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3w**



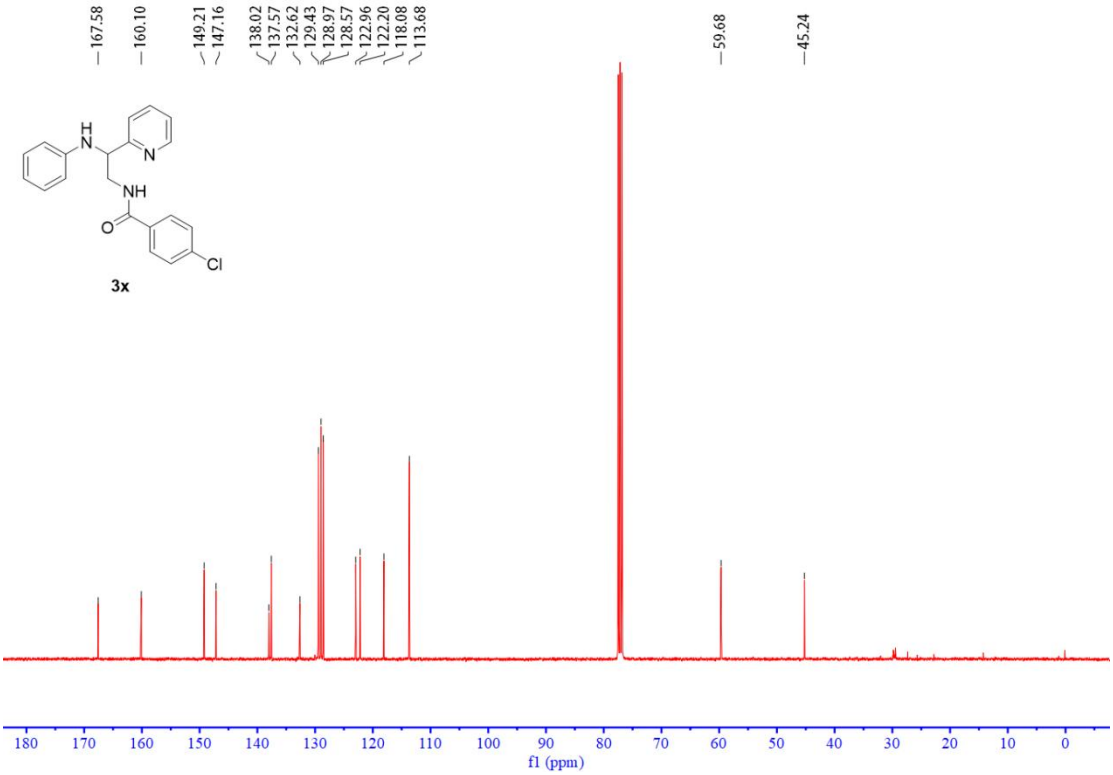
^{19}F NMR (CDCl3, 376 MHz) spectra of compound **3w**



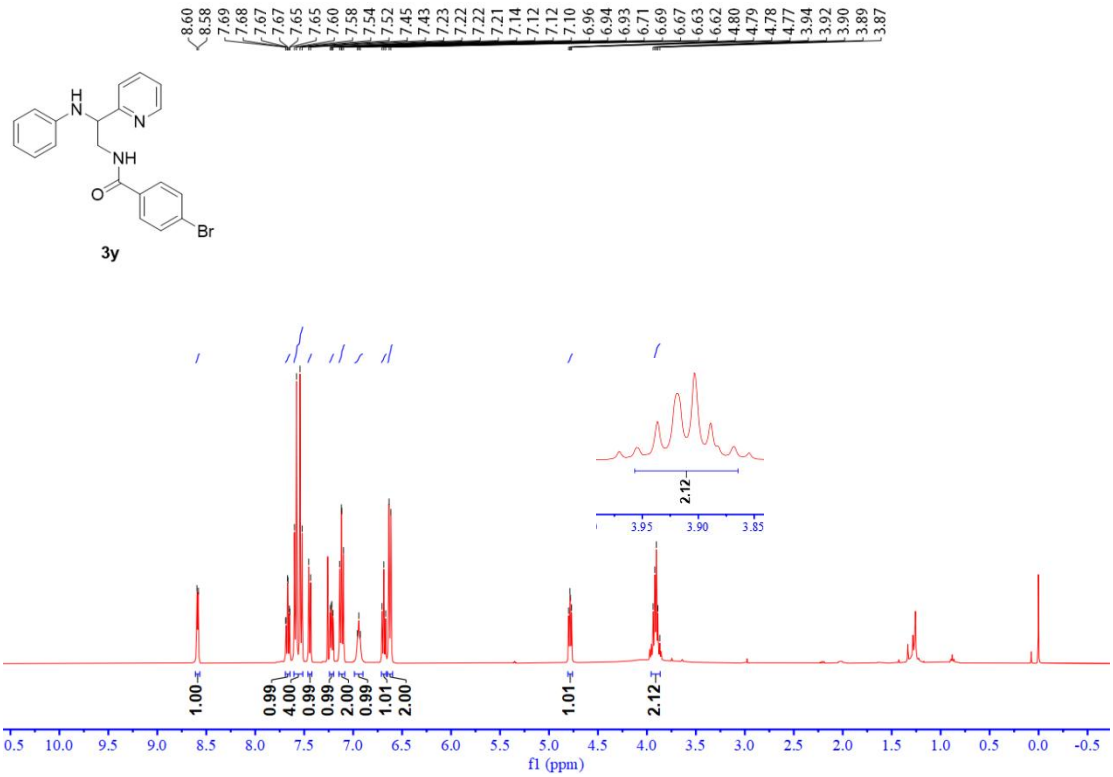
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3x**



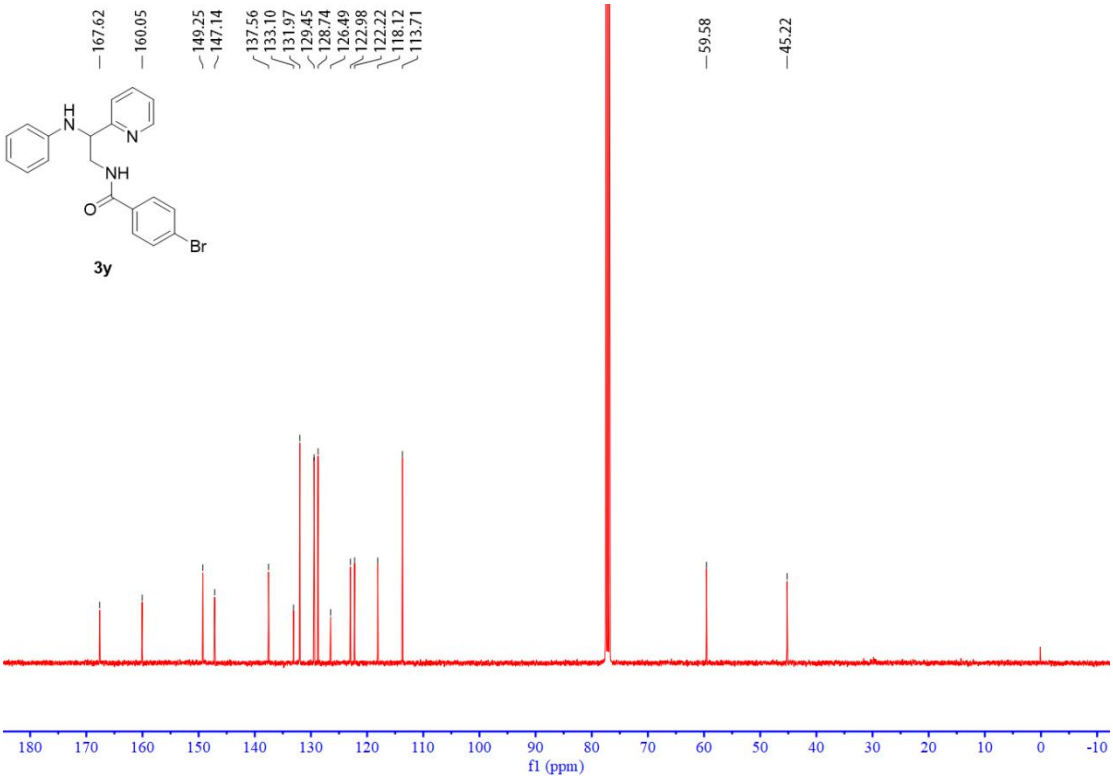
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3x**



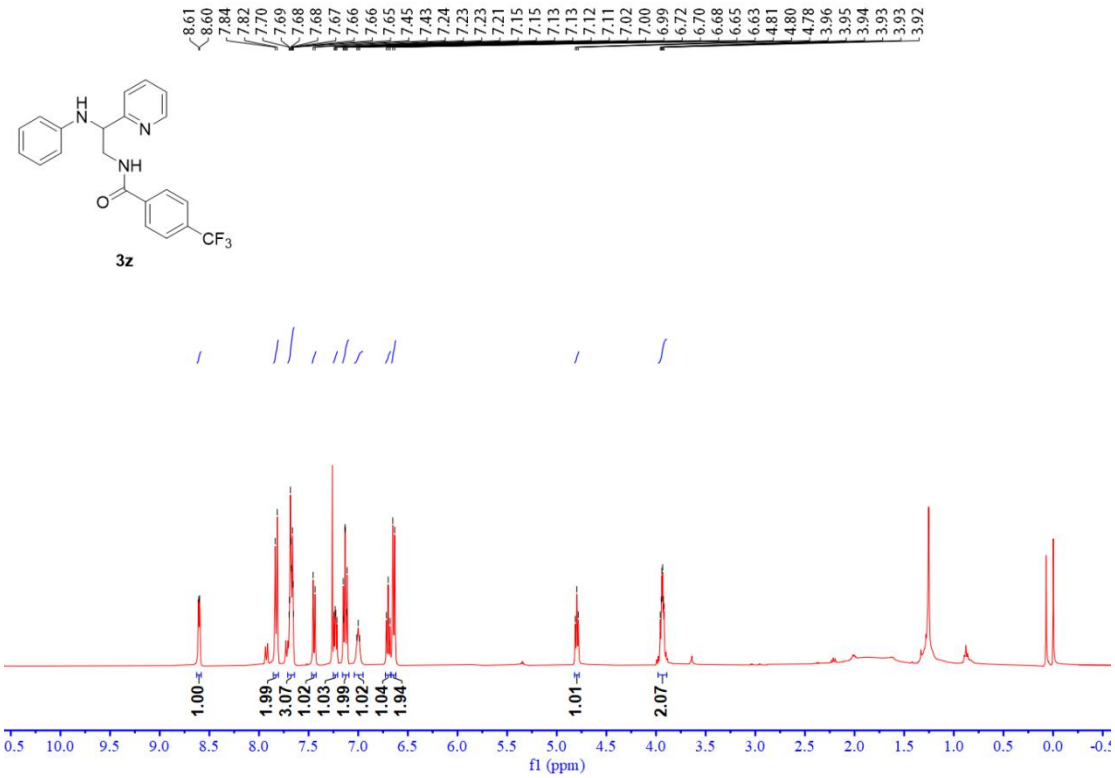
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3y**



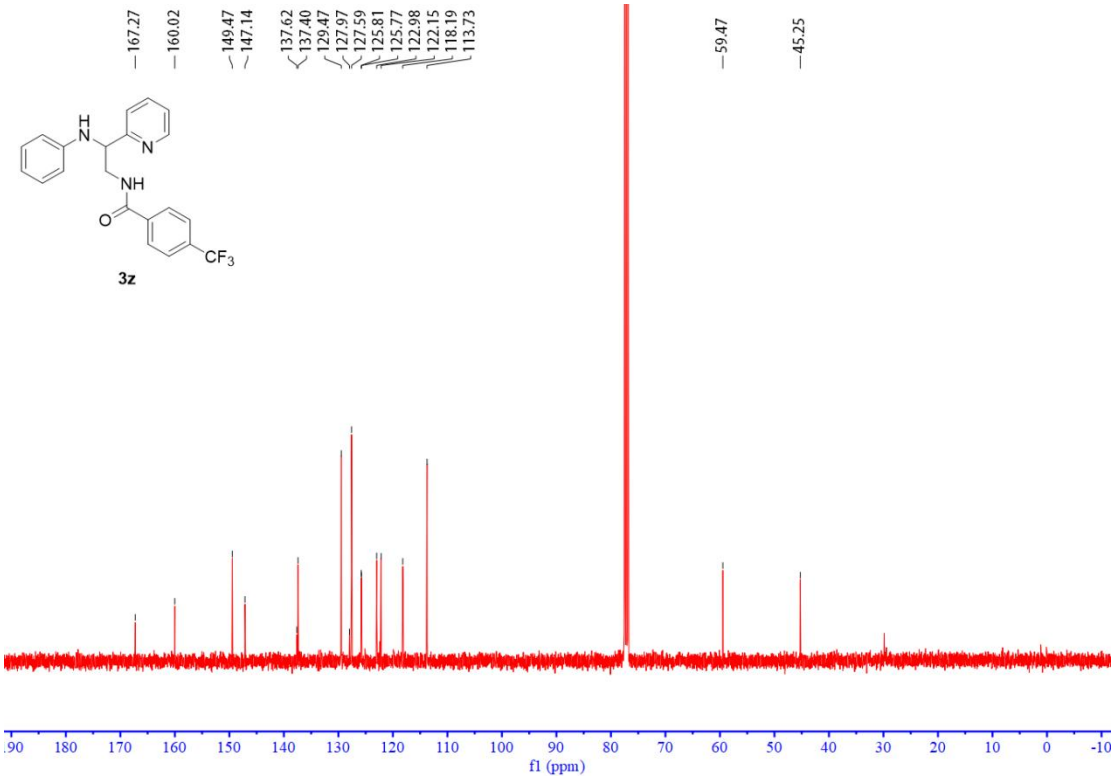
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3y**



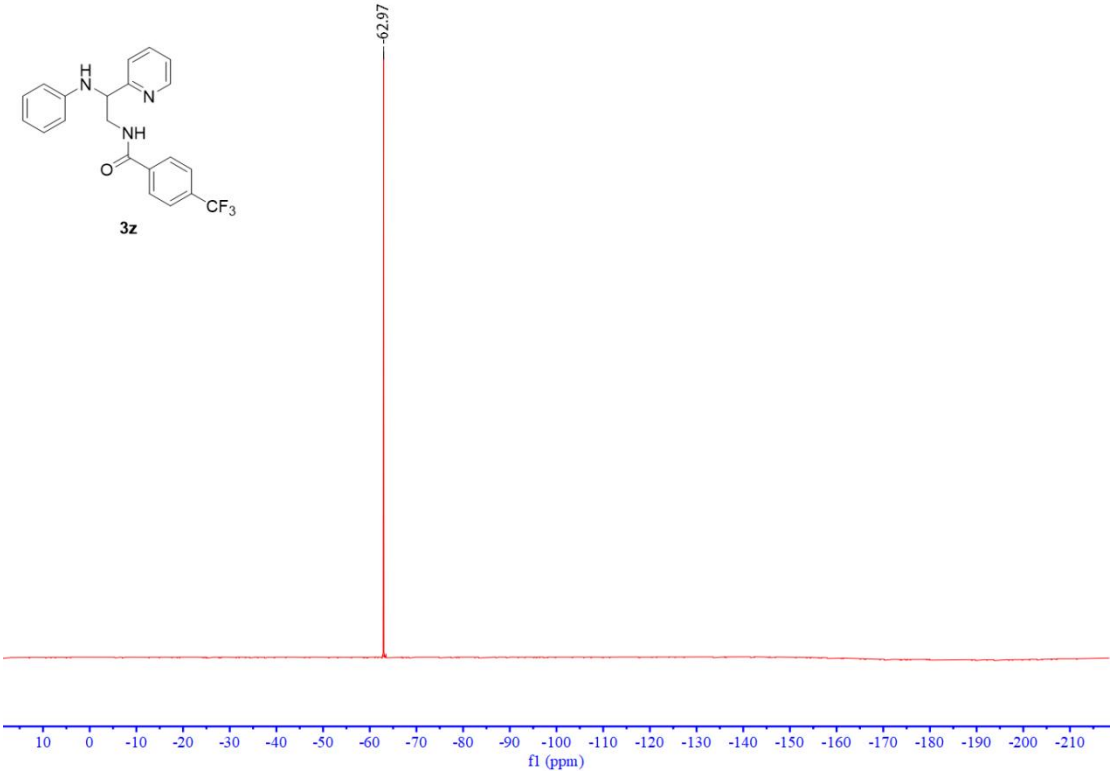
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3z**



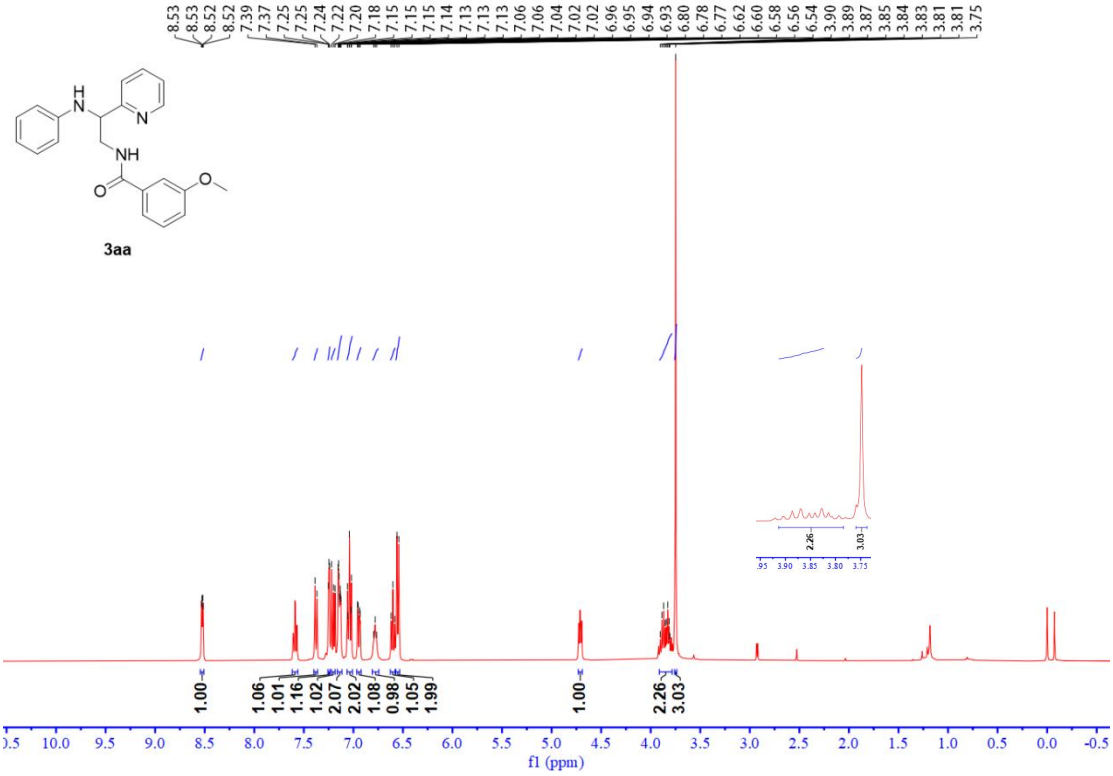
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3z**



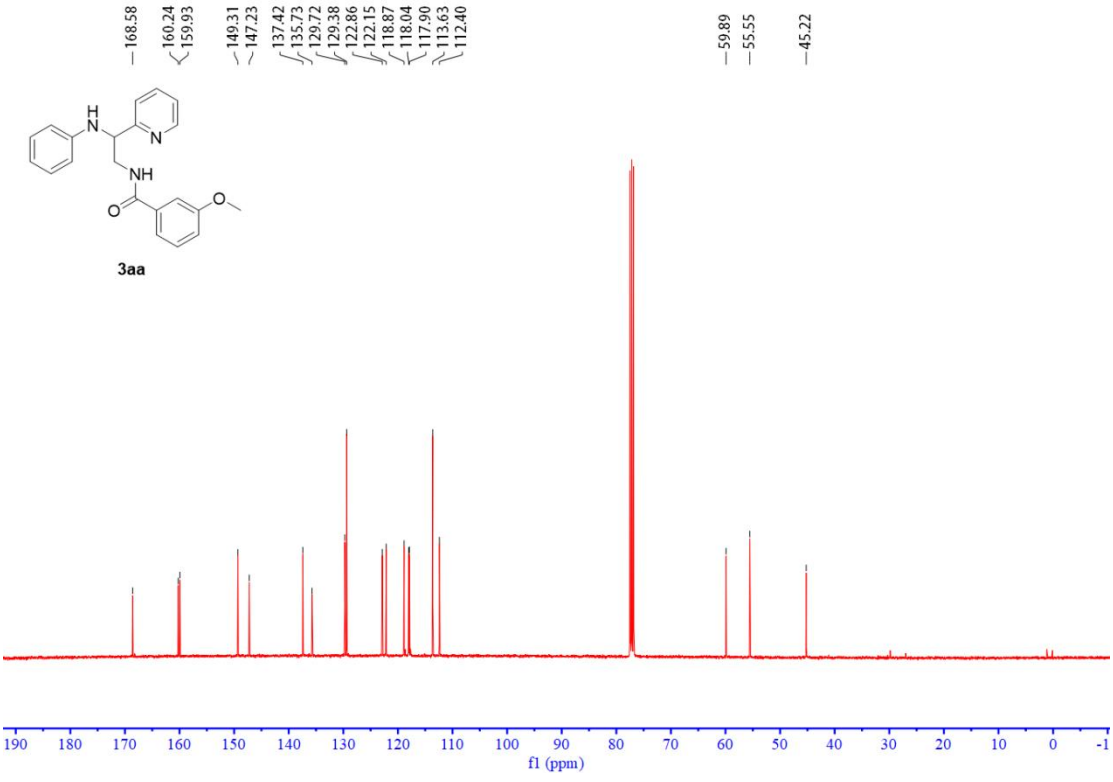
^{19}F NMR (CDCl3, 376 MHz) spectra of compound **3z**



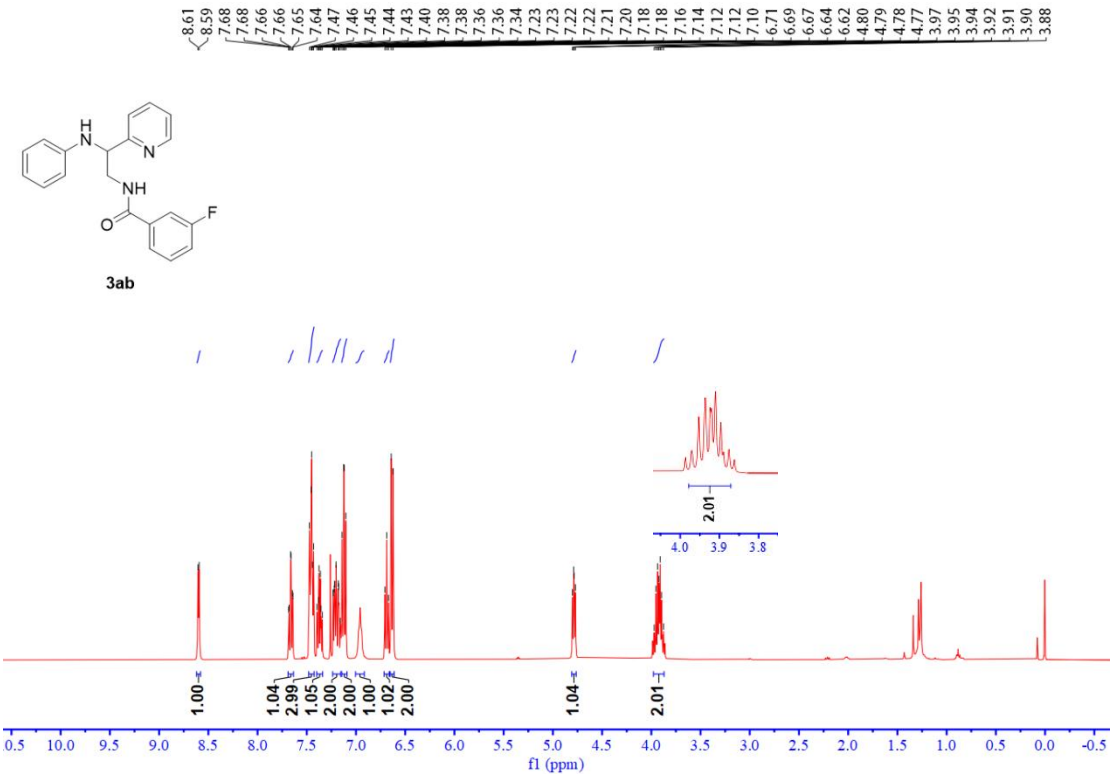
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3aa**



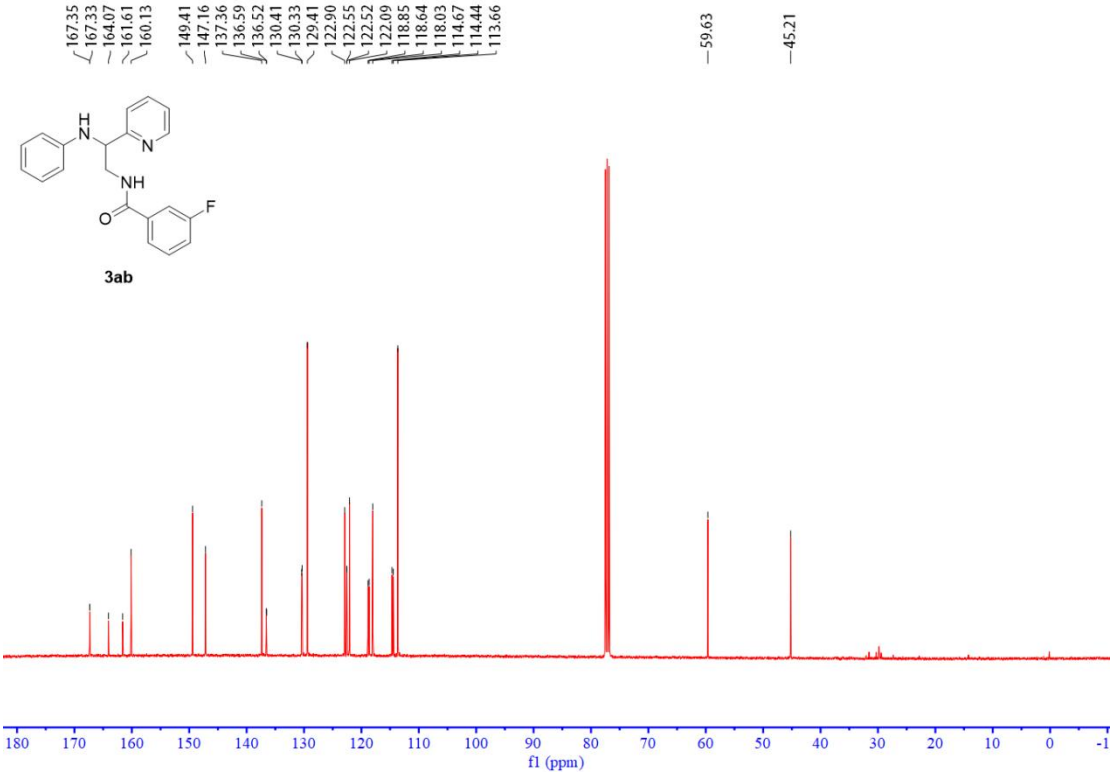
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3aa**



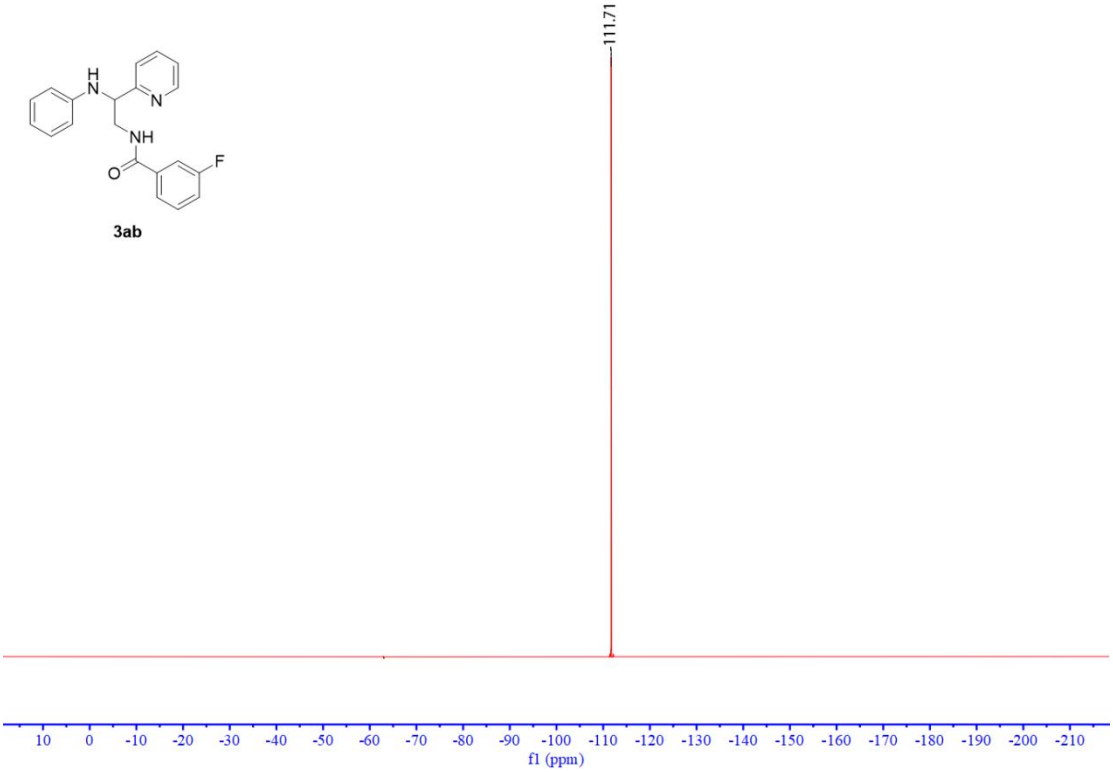
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3ab**



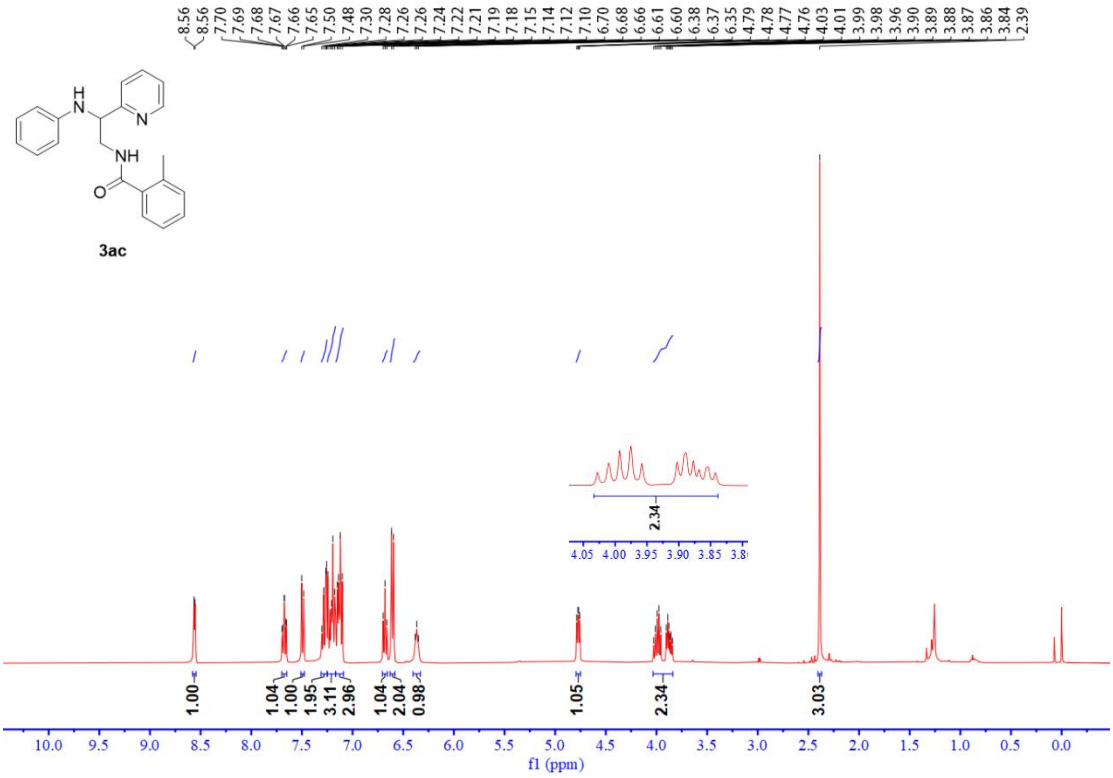
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3ab**



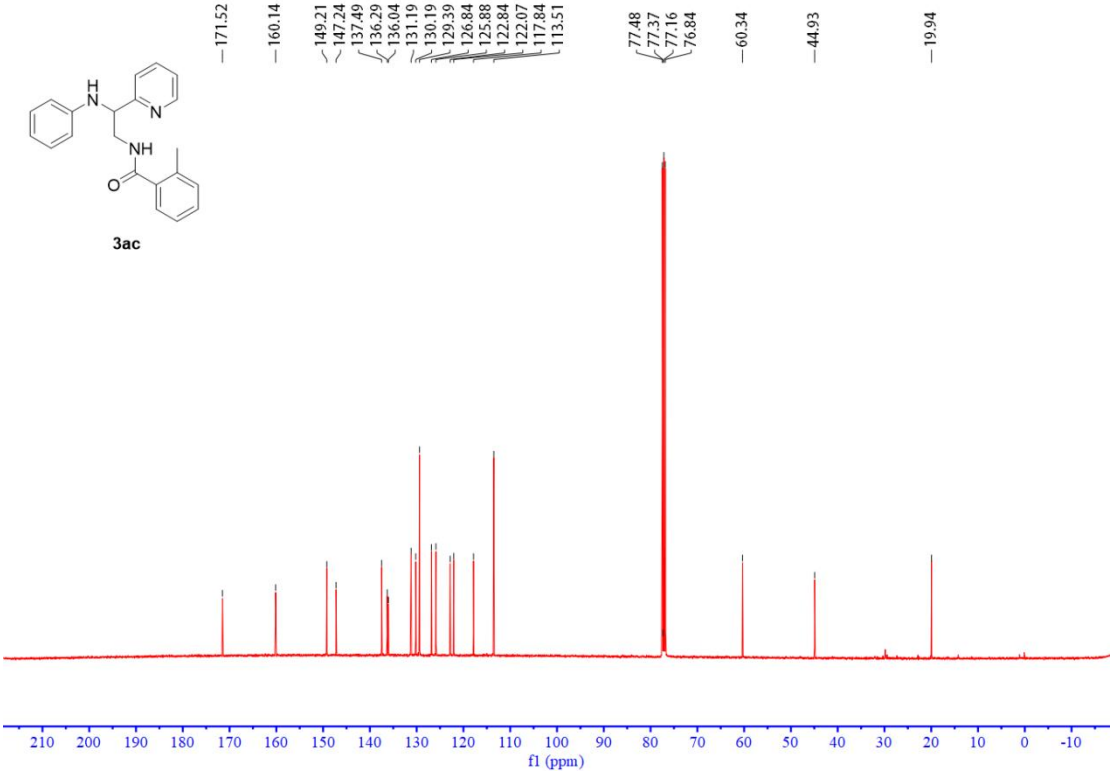
^{19}F NMR (CDCl3, 376 MHz) spectra of compound **3ab**



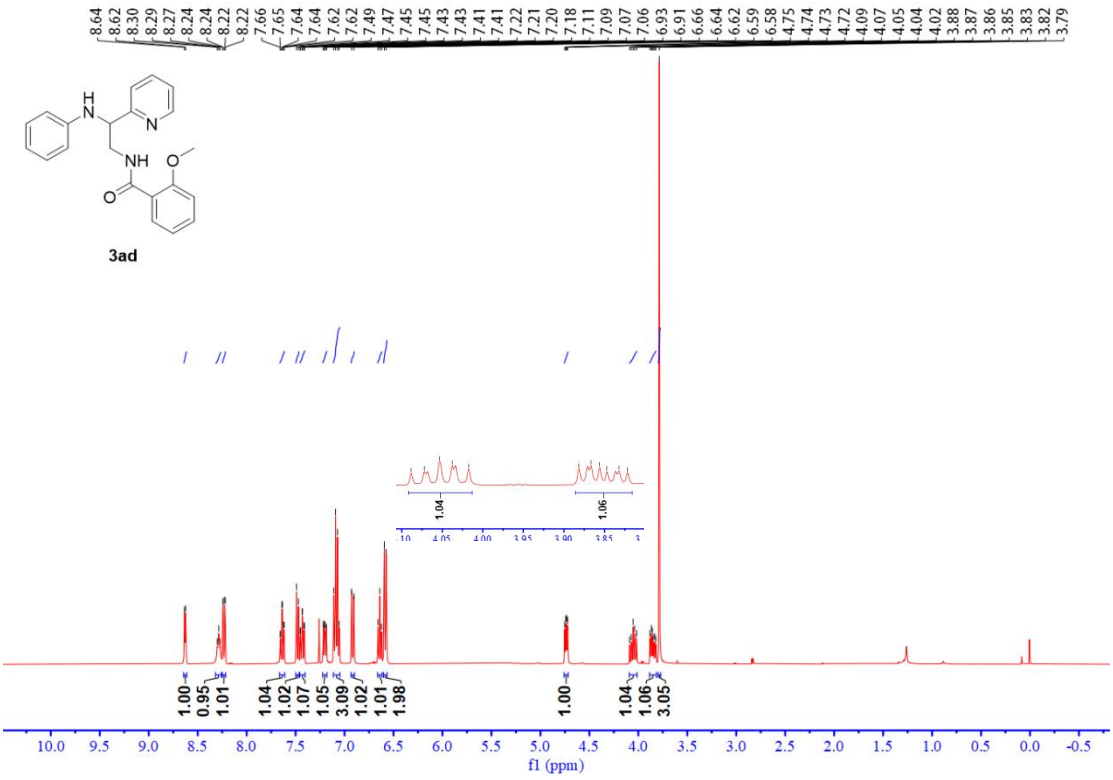
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3ac**



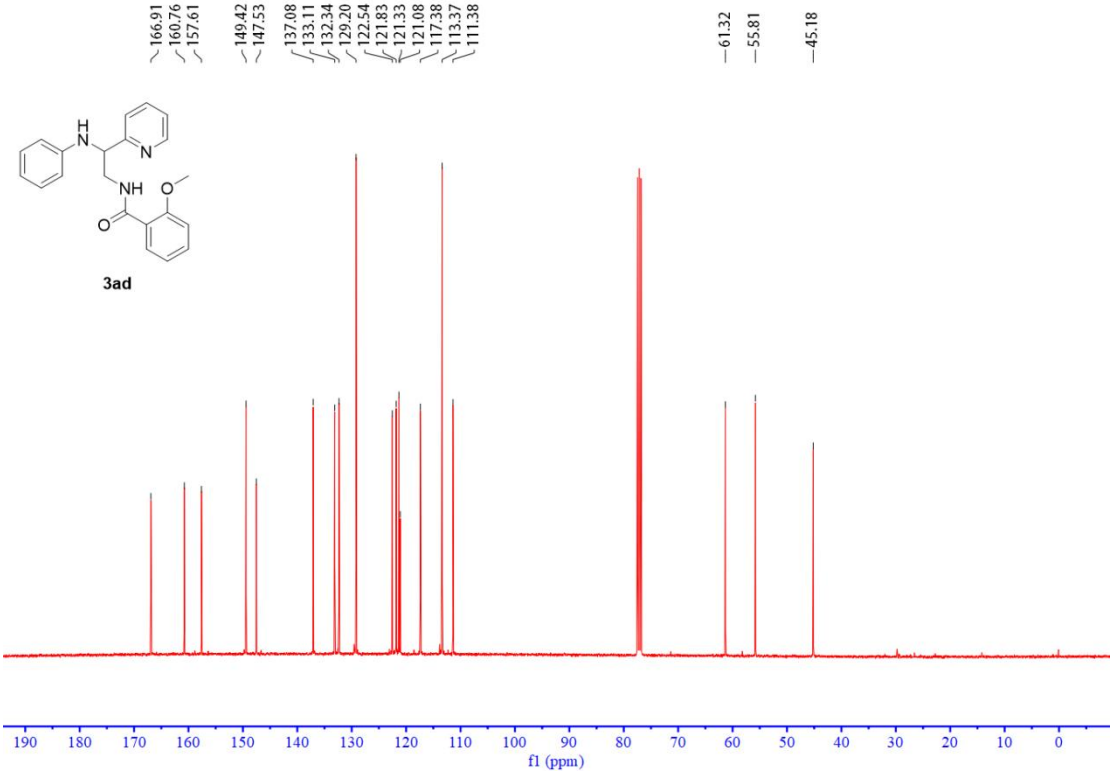
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3ac**



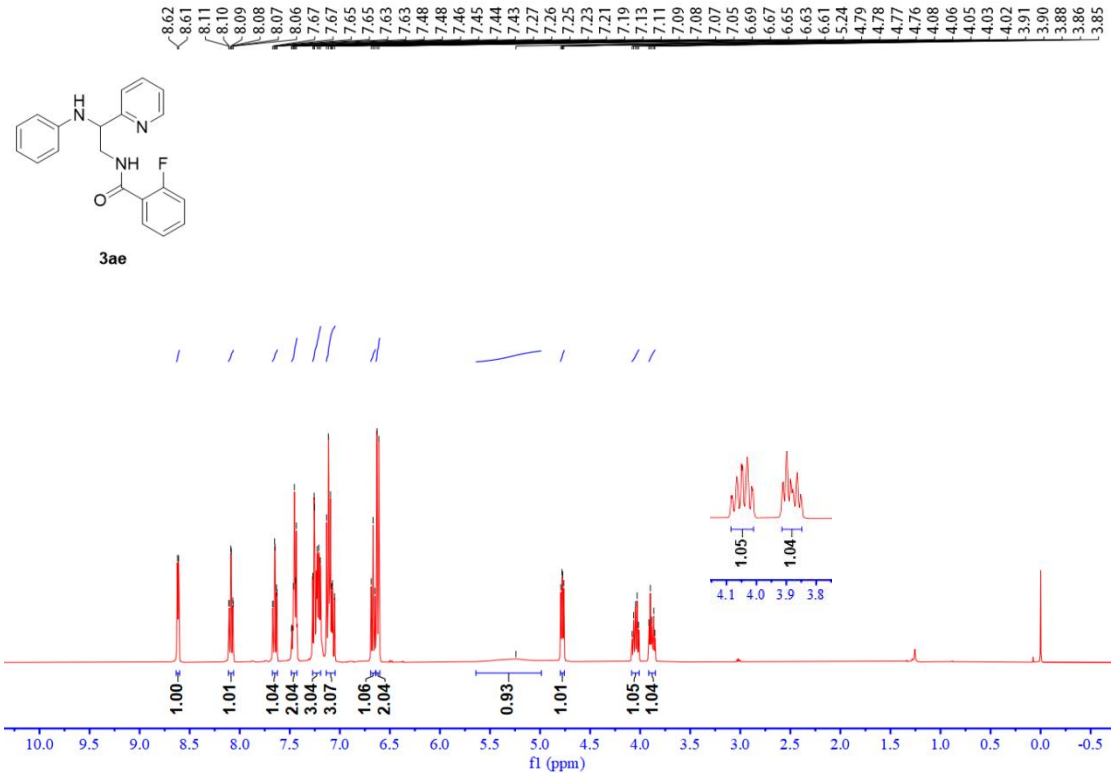
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3ad**



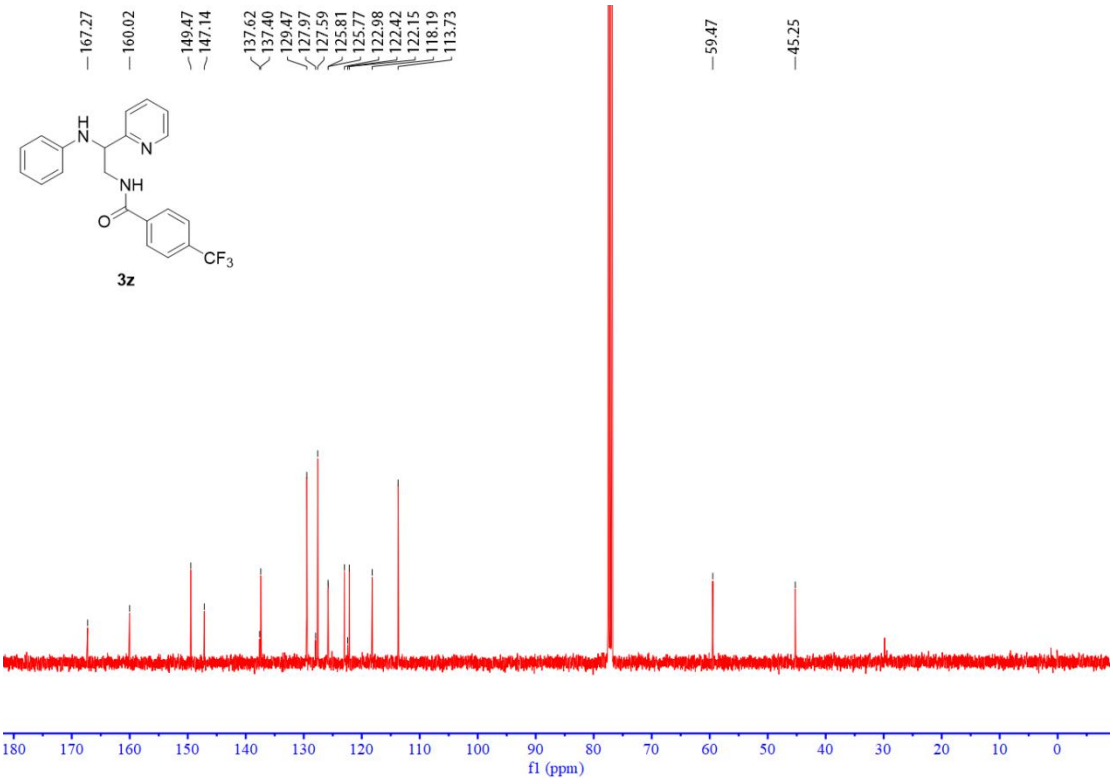
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3ad**



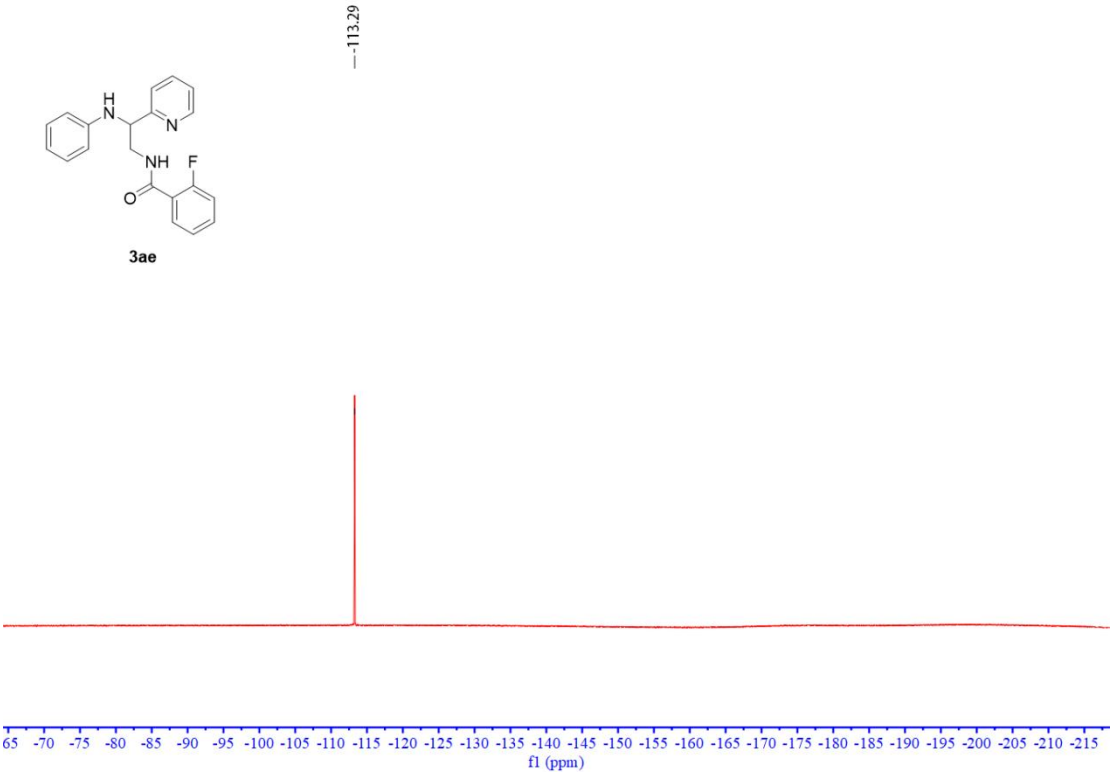
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3ae**



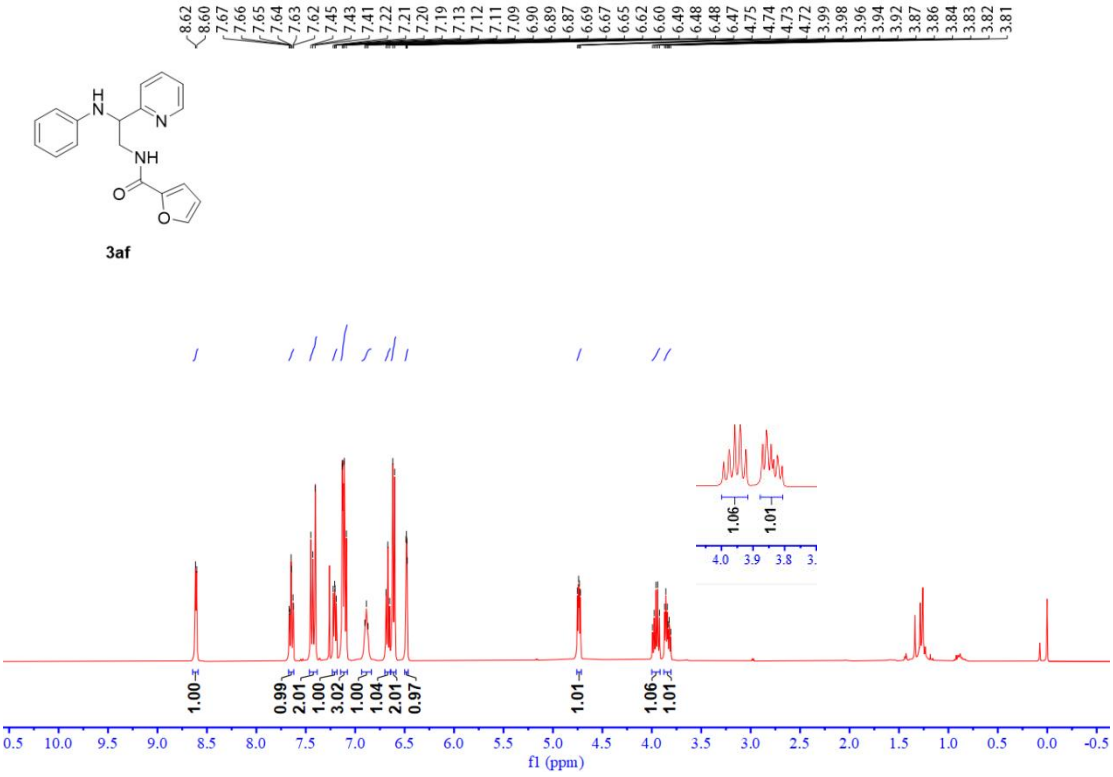
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3ae**



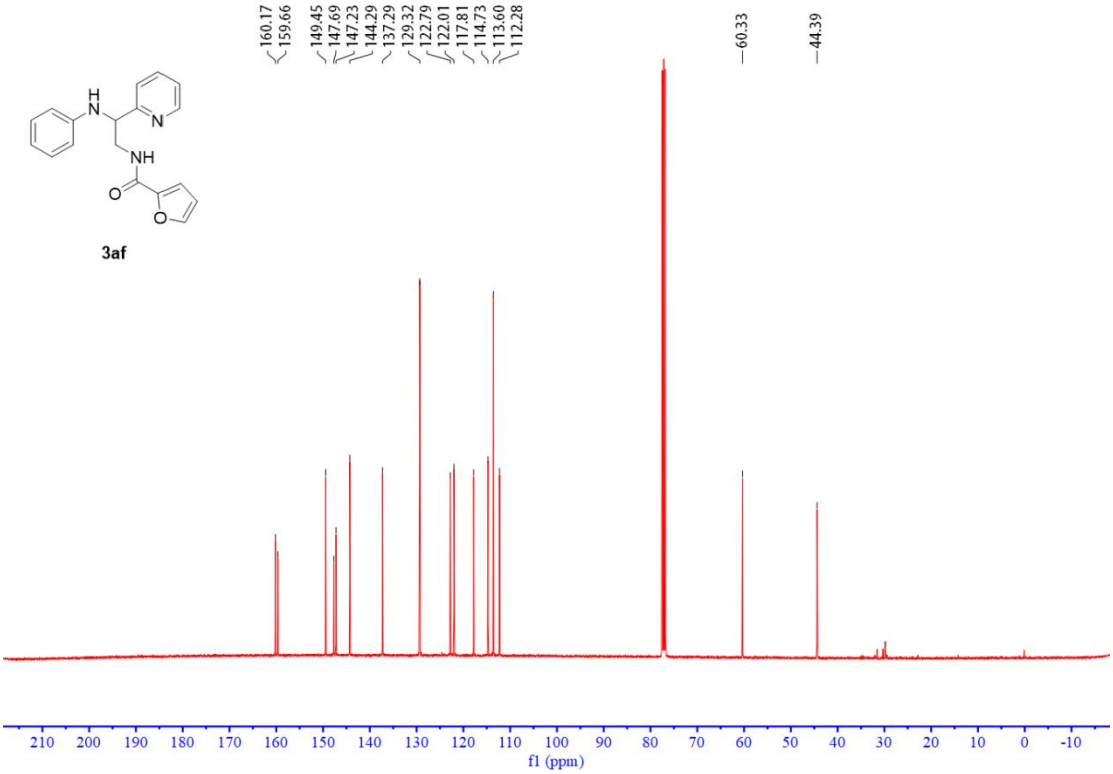
^{19}F NMR (CDCl3, 376 MHz) spectra of compound **3ae**



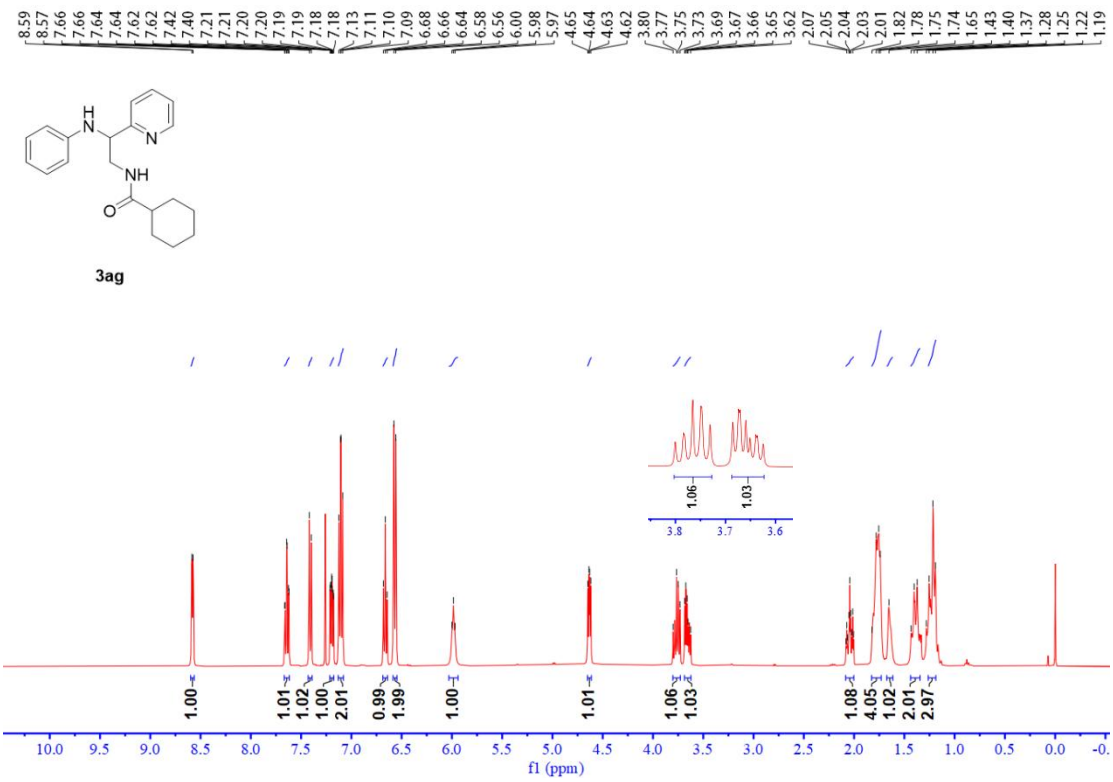
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3af**



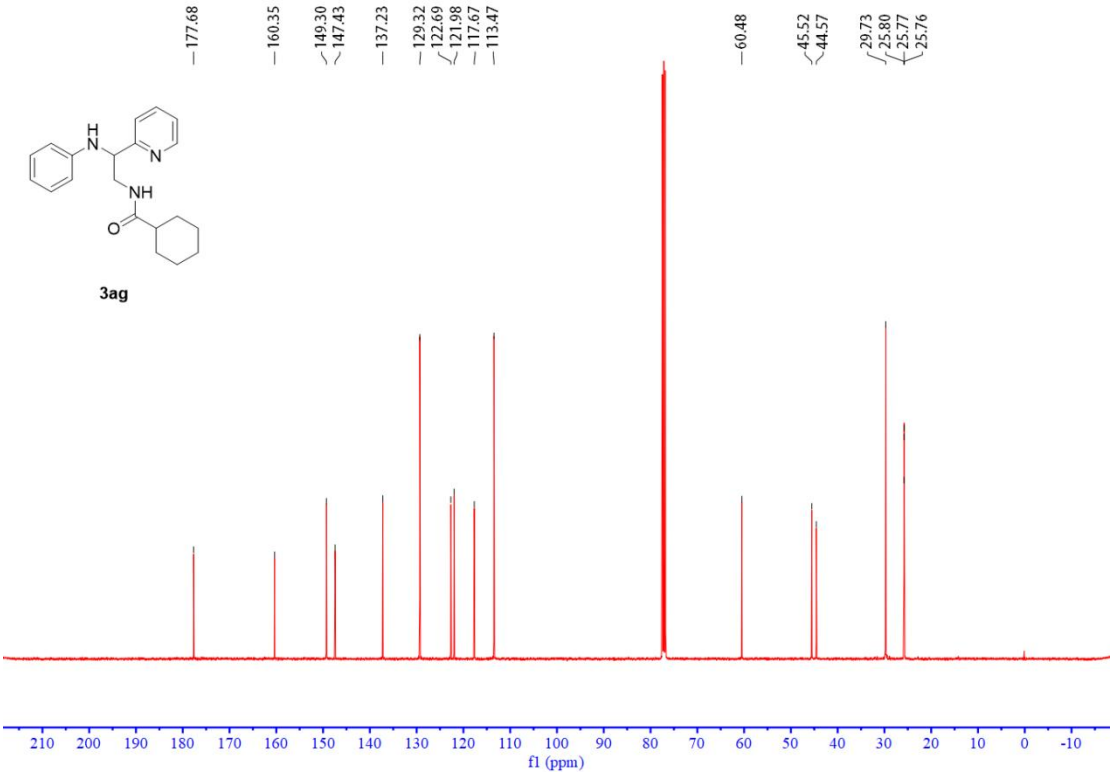
$^{13}C\{^{1}H\}$ NMR ($CDCl_3$, 100 MHz) spectra of compound **3af**



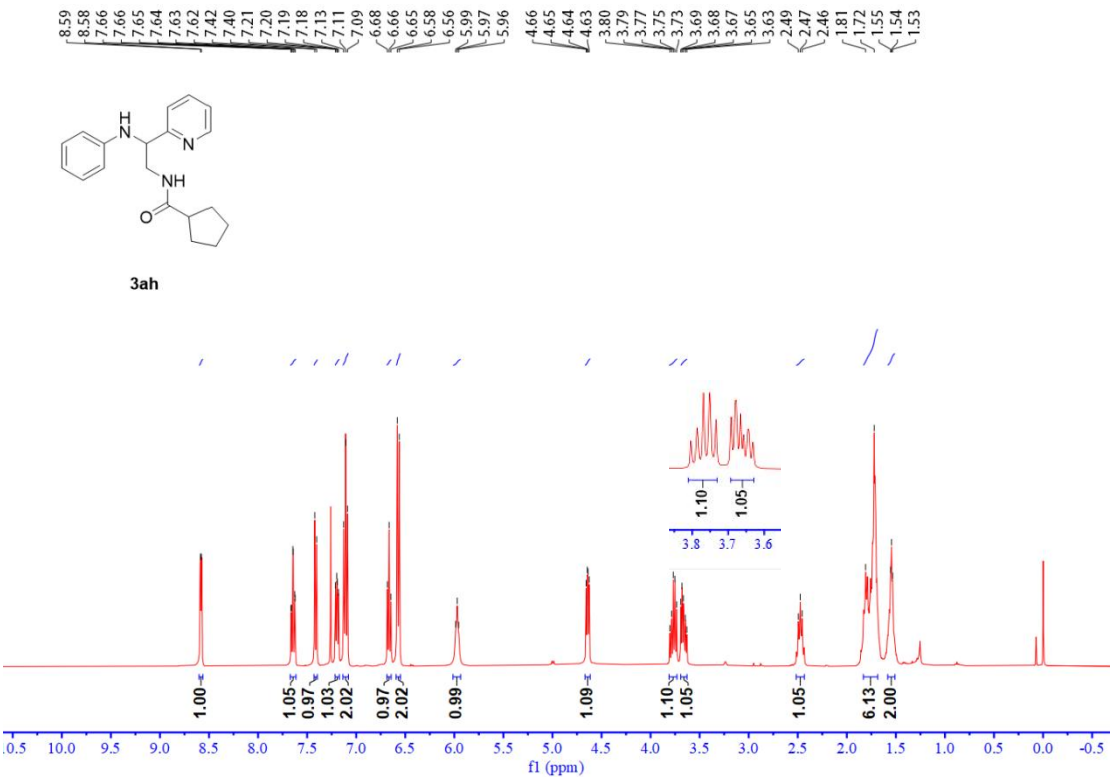
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3ag**



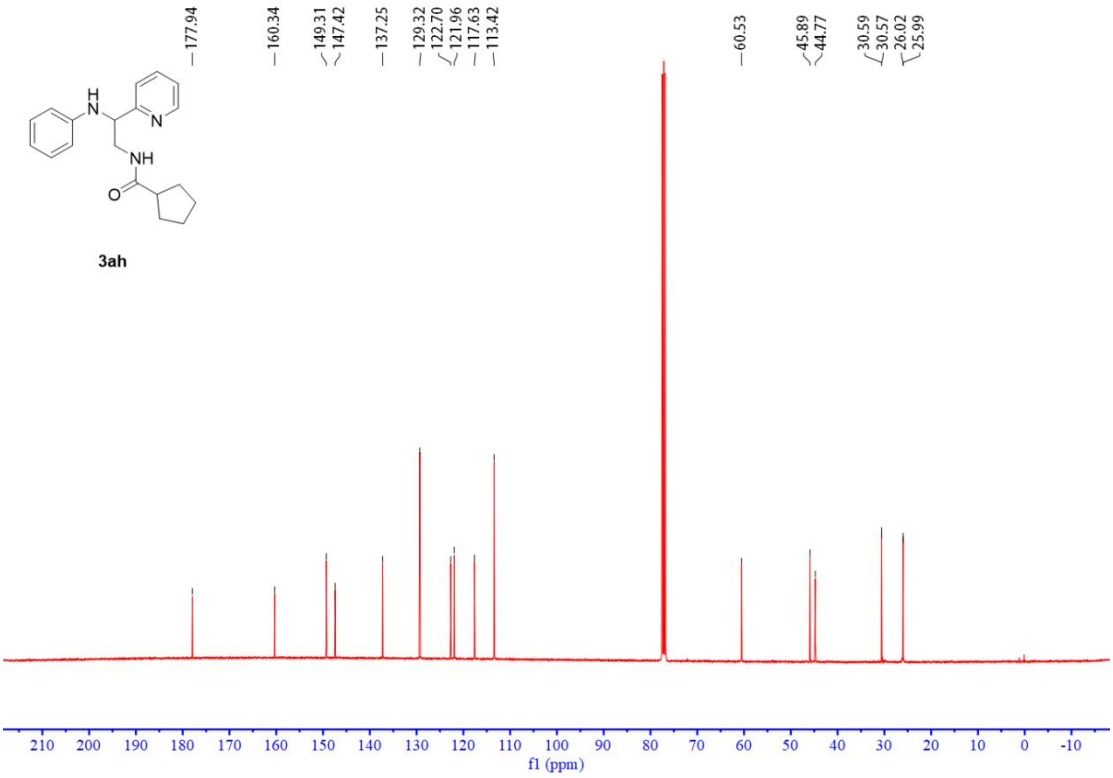
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3ag**



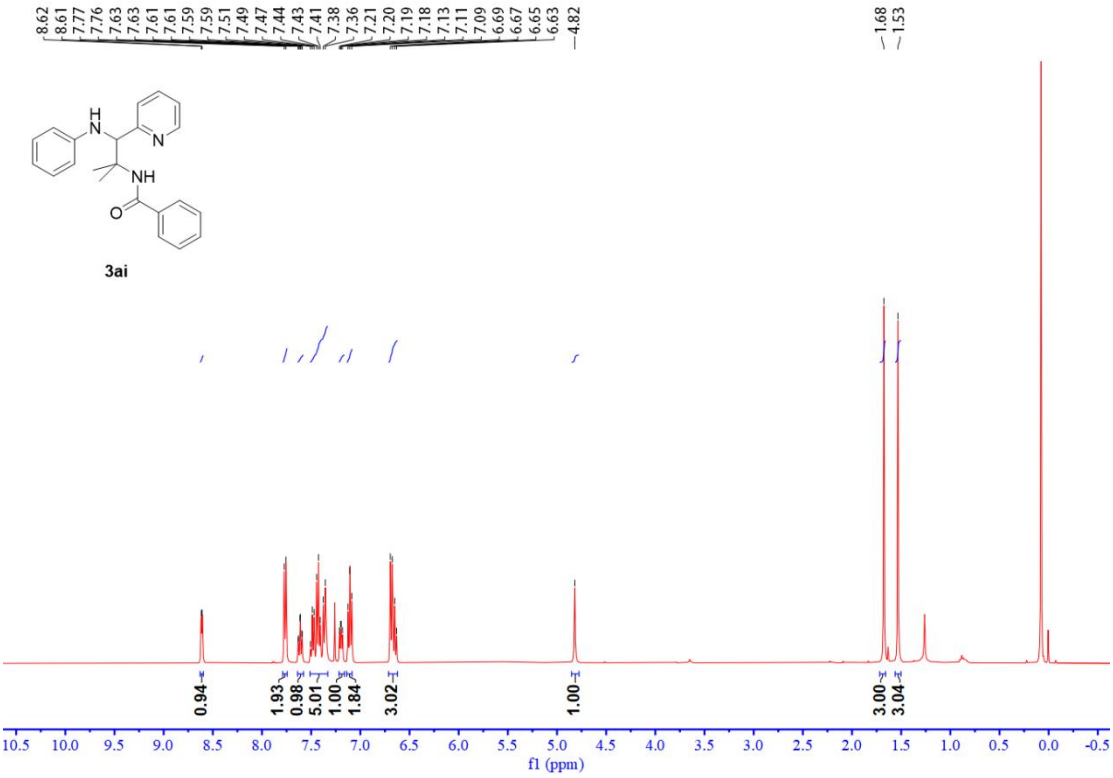
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3ah**



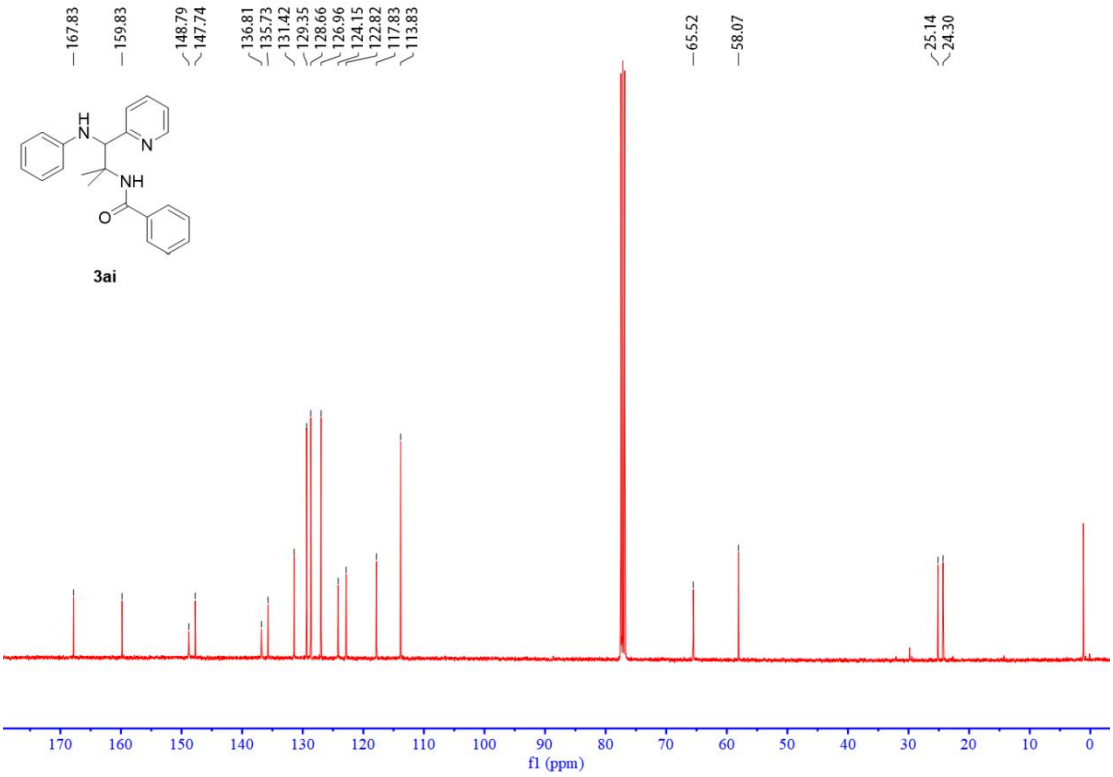
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3ah**



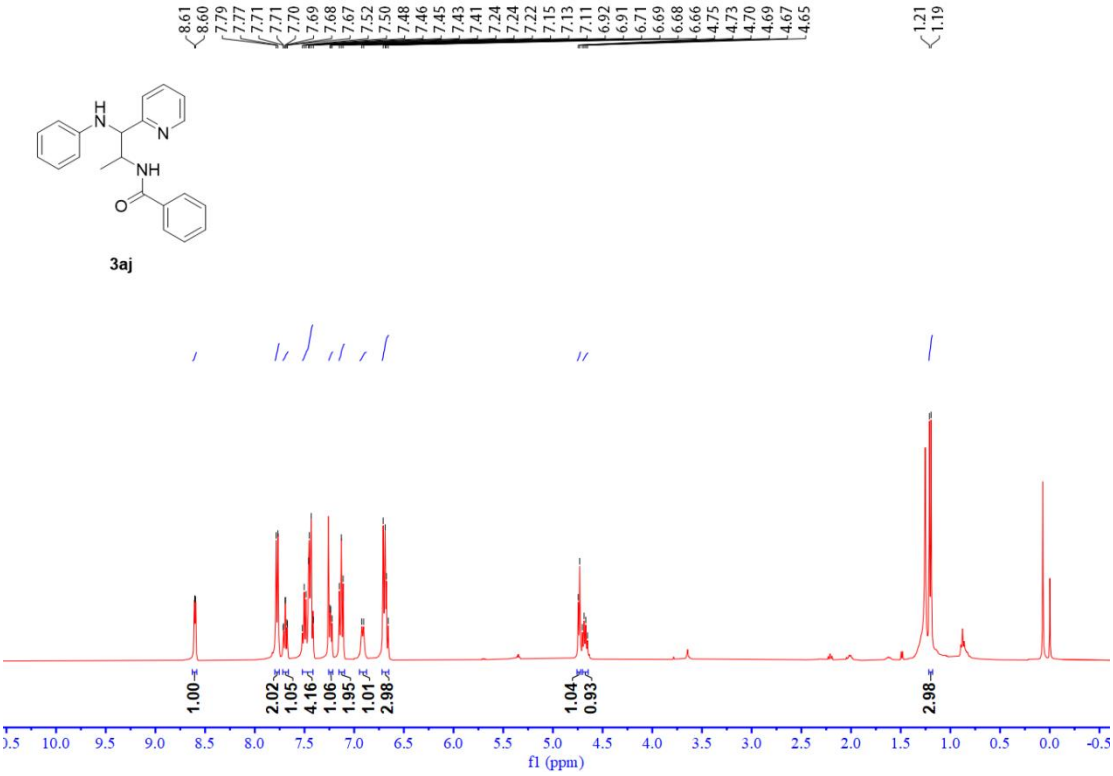
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3ai**



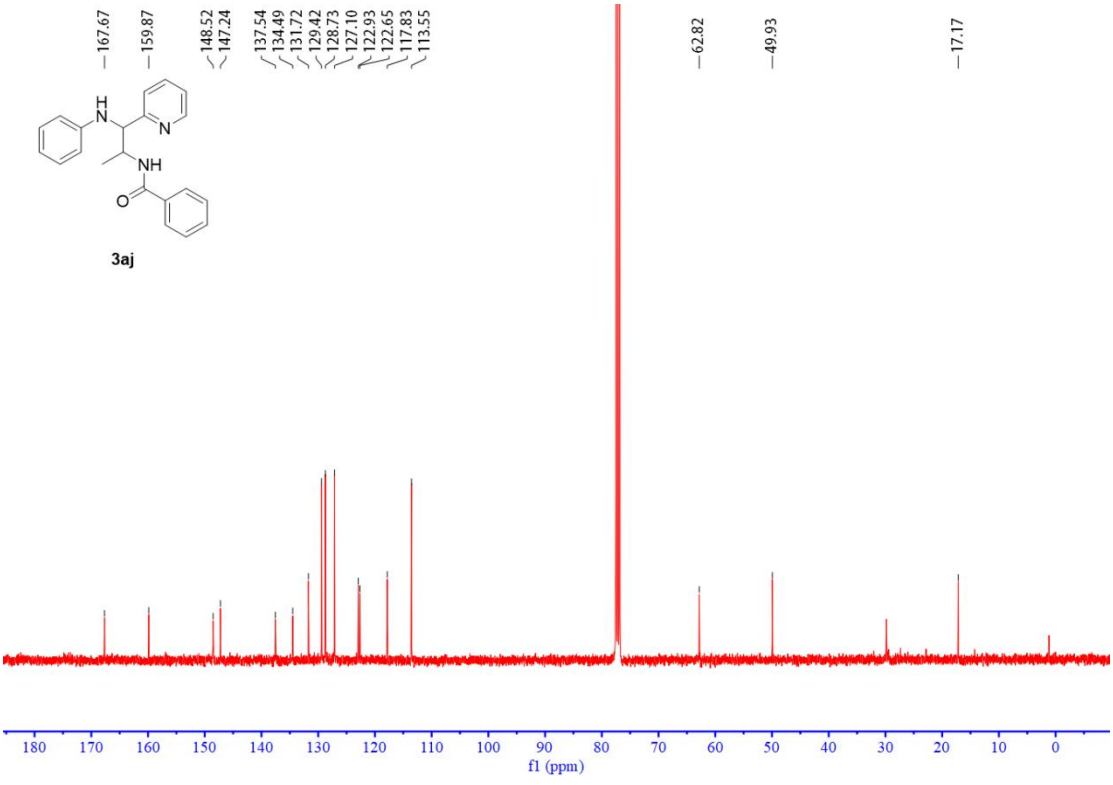
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3ai**



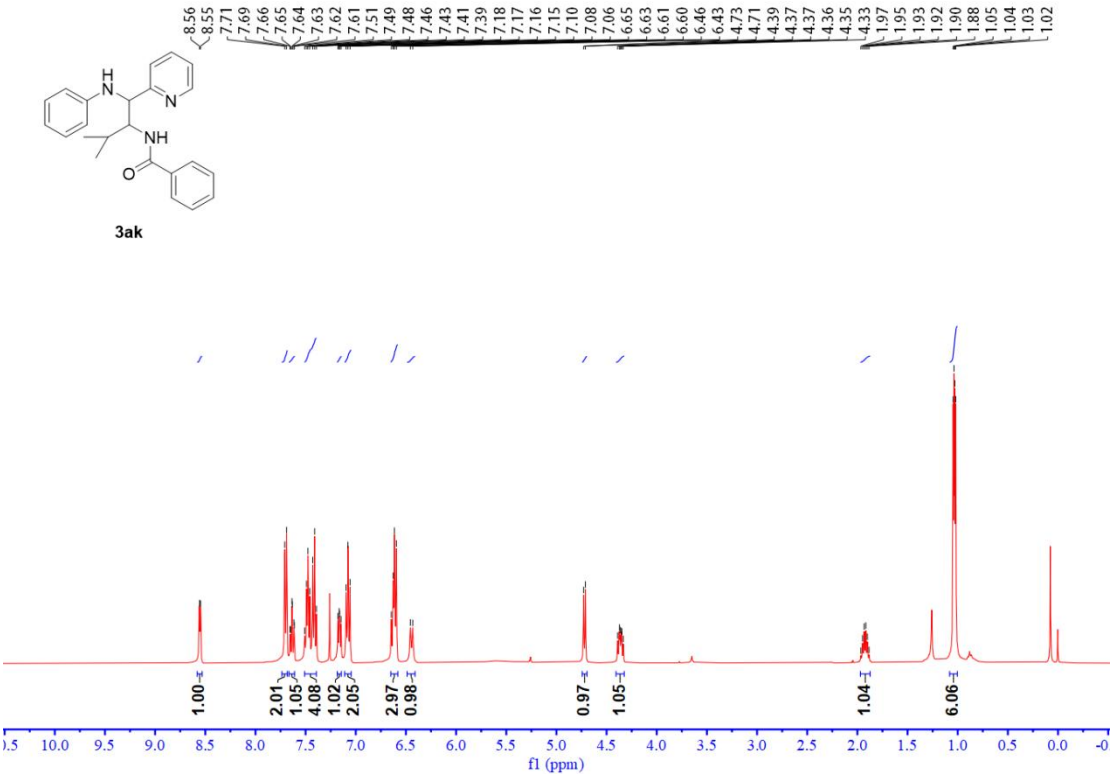
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3aj**



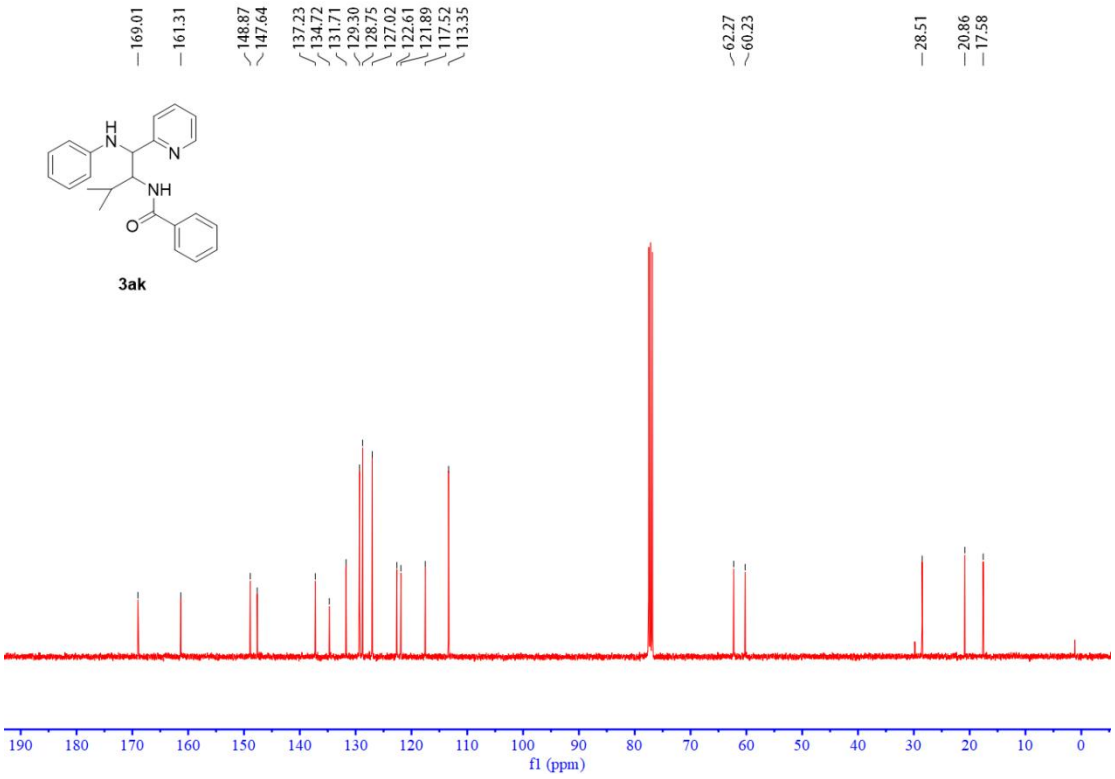
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3aj**



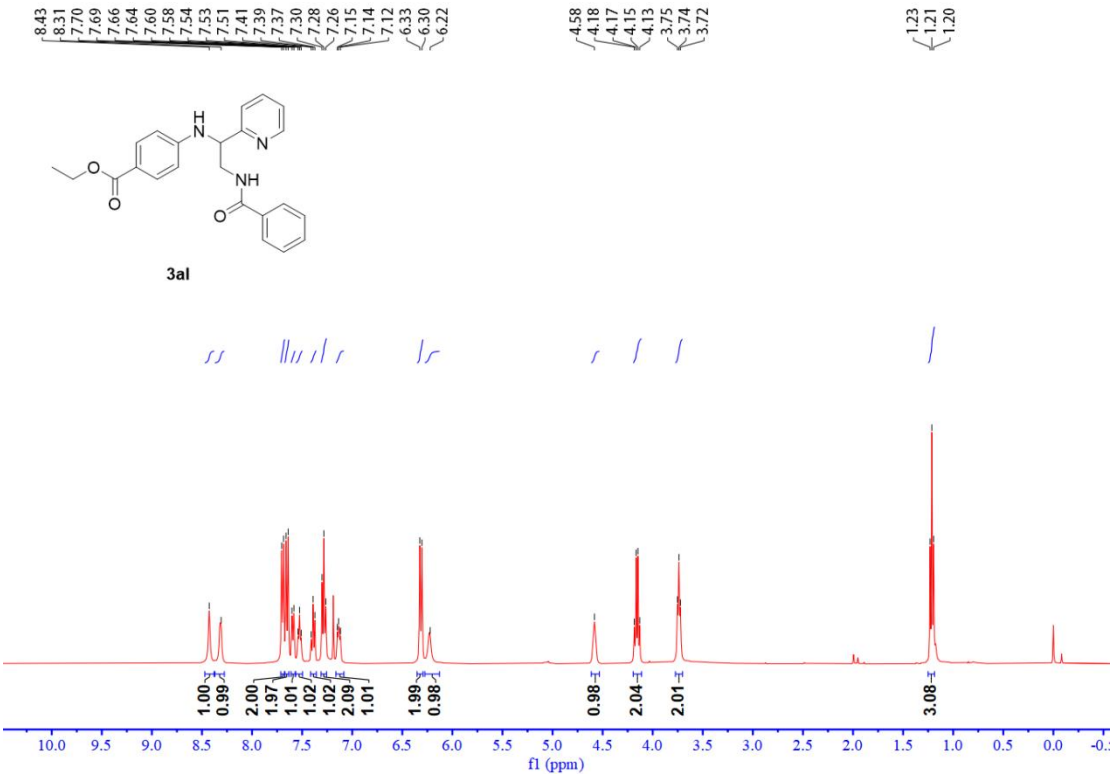
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3ak**



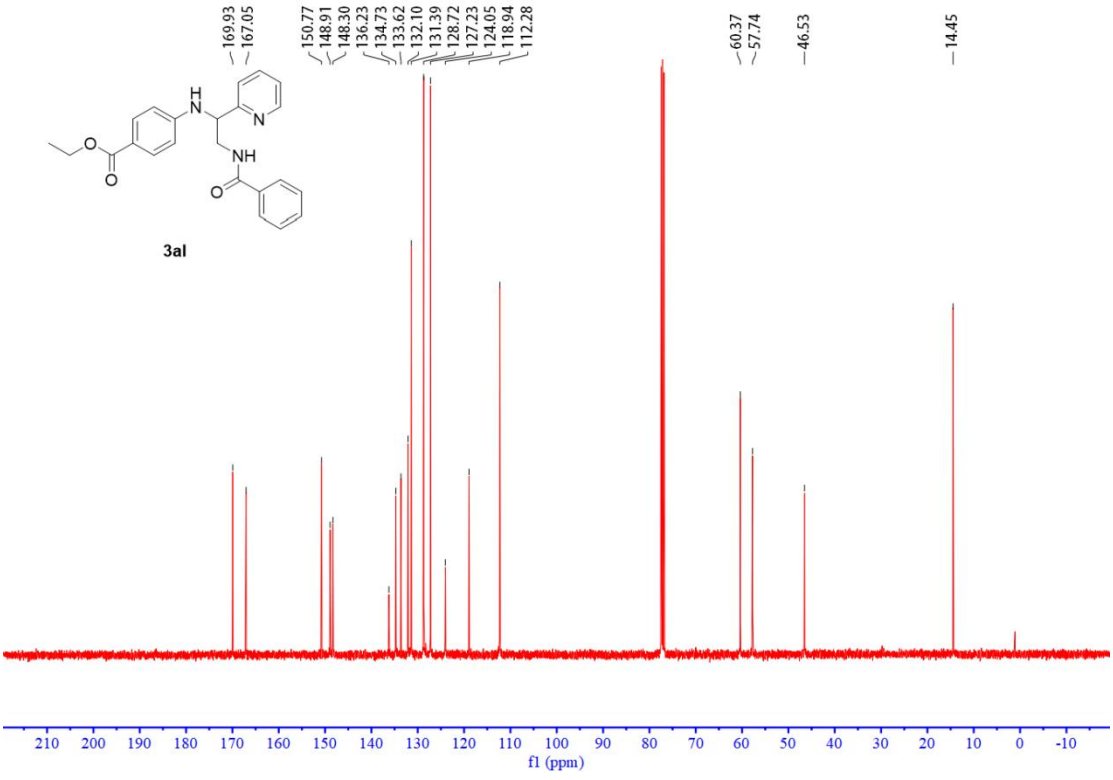
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3ak**



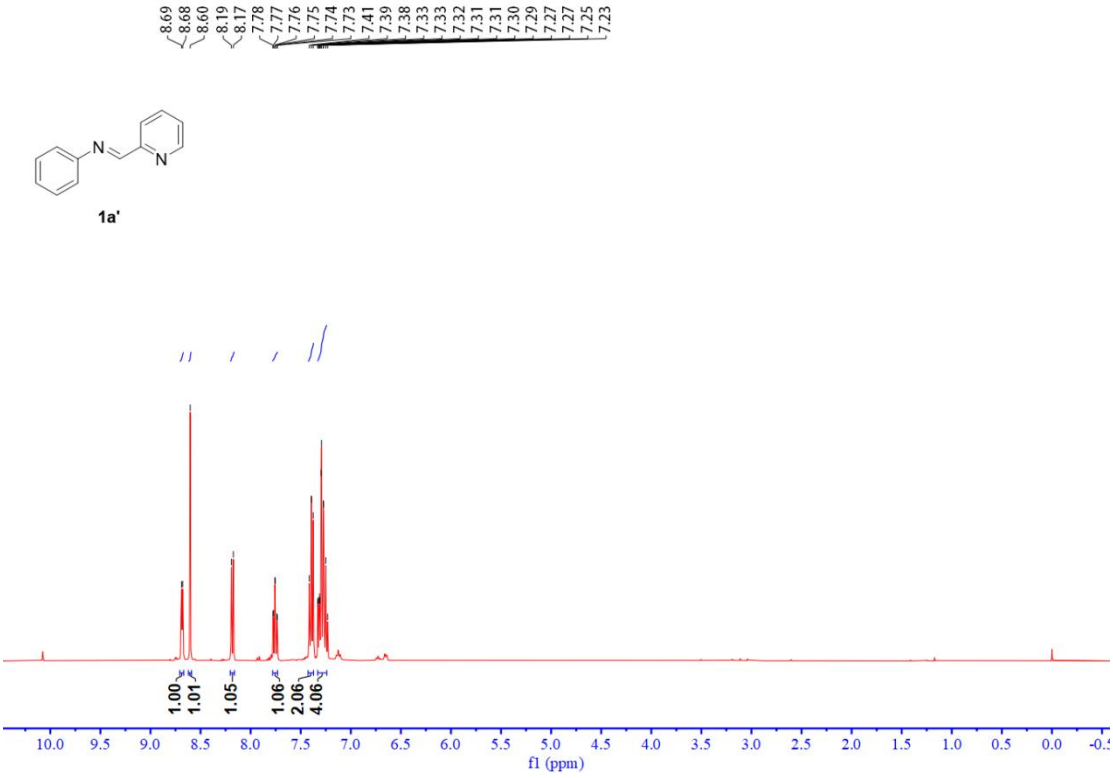
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3al**



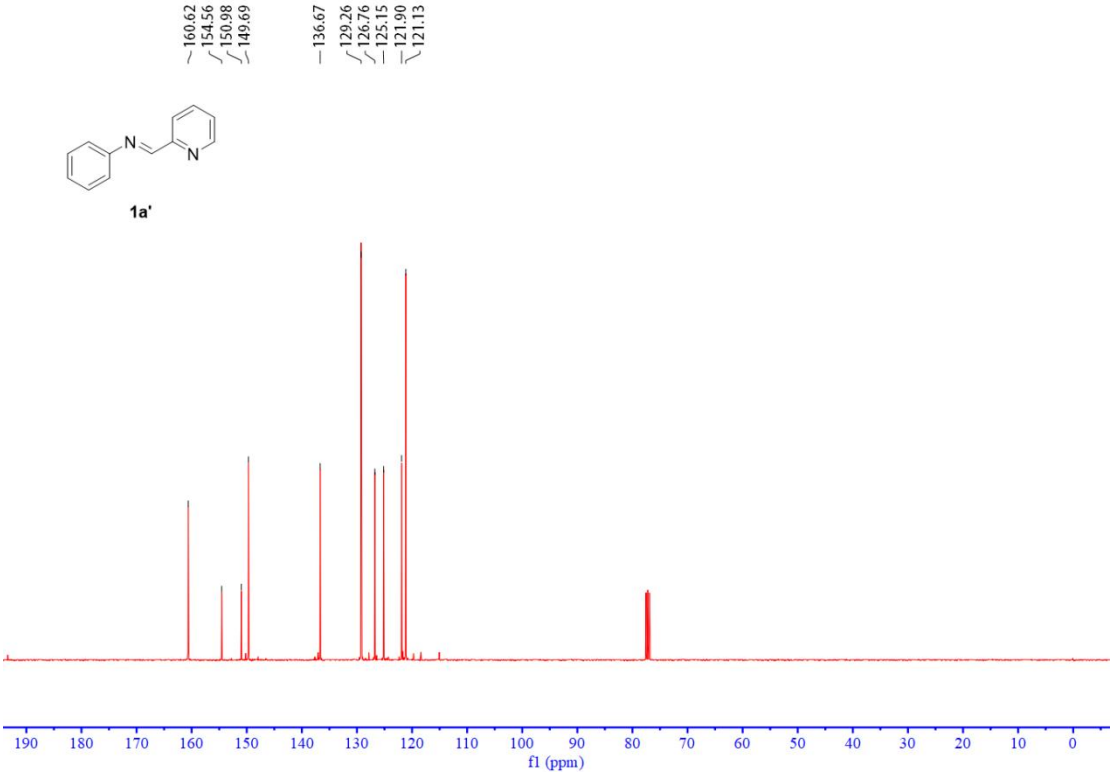
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3al**



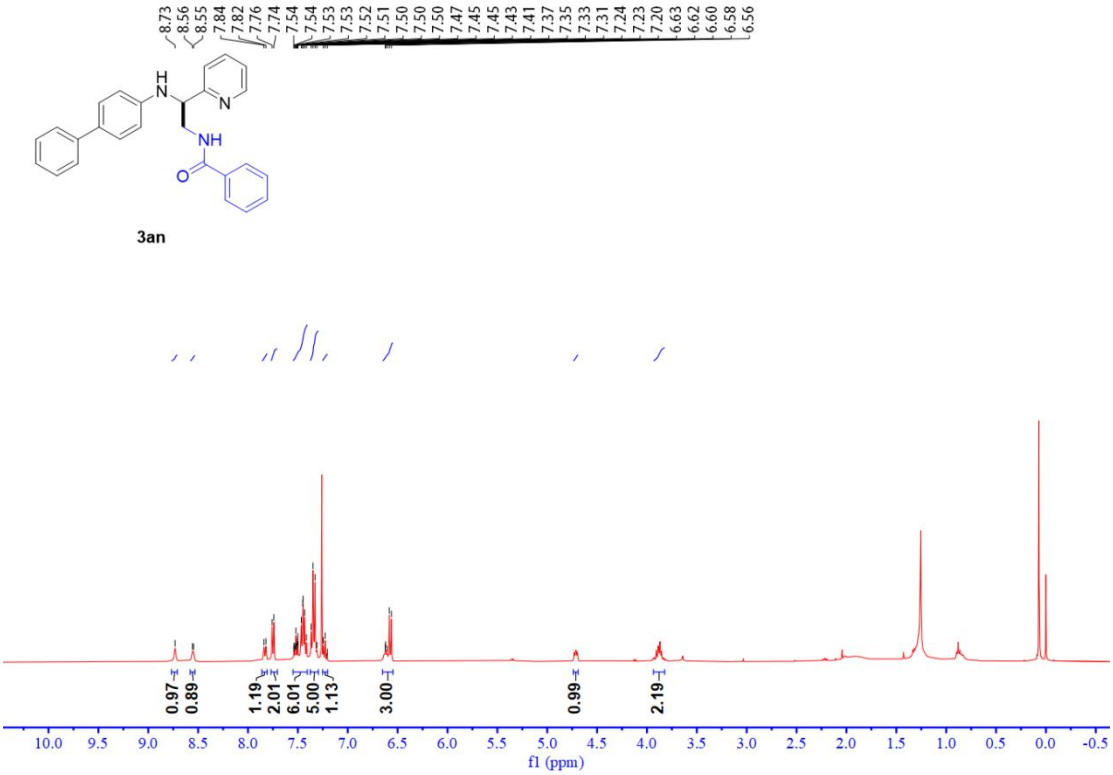
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **1a'**



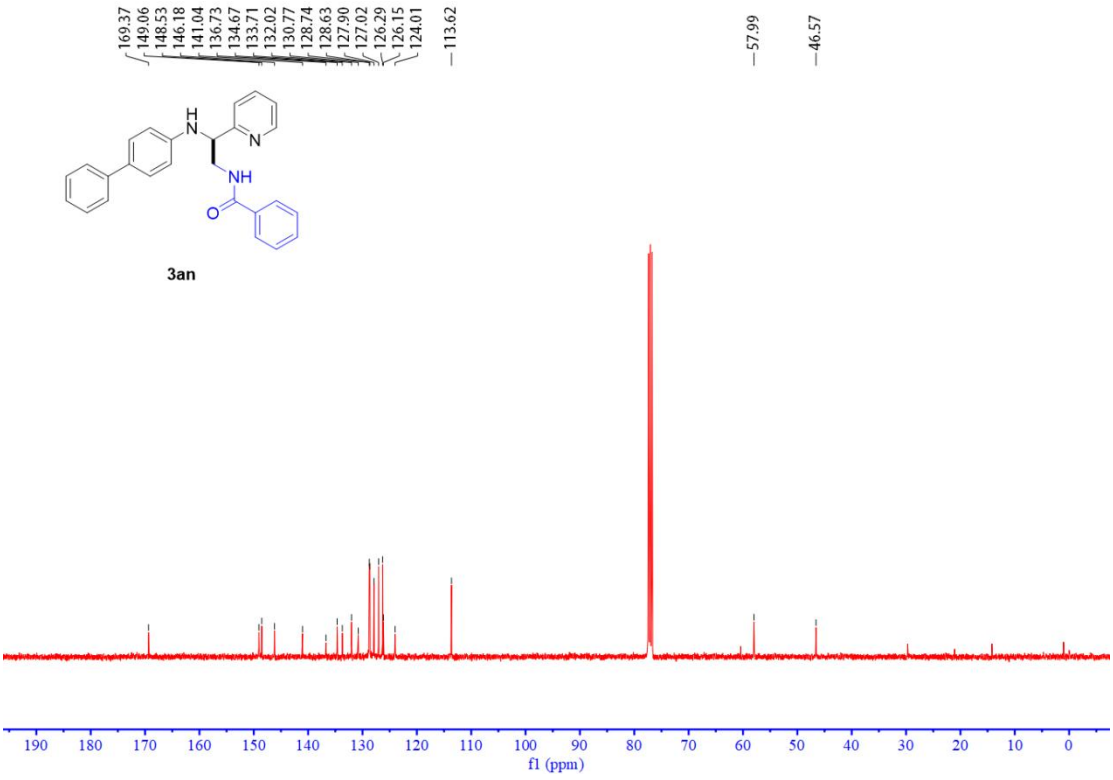
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **1a'**



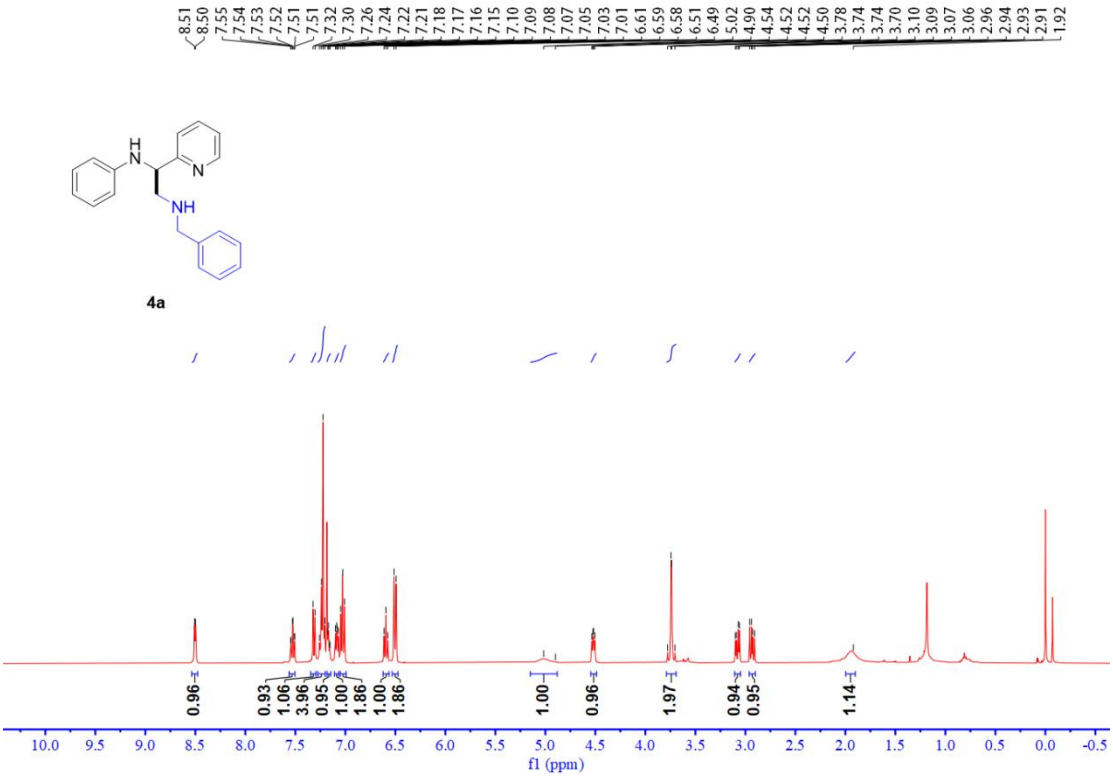
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3an**



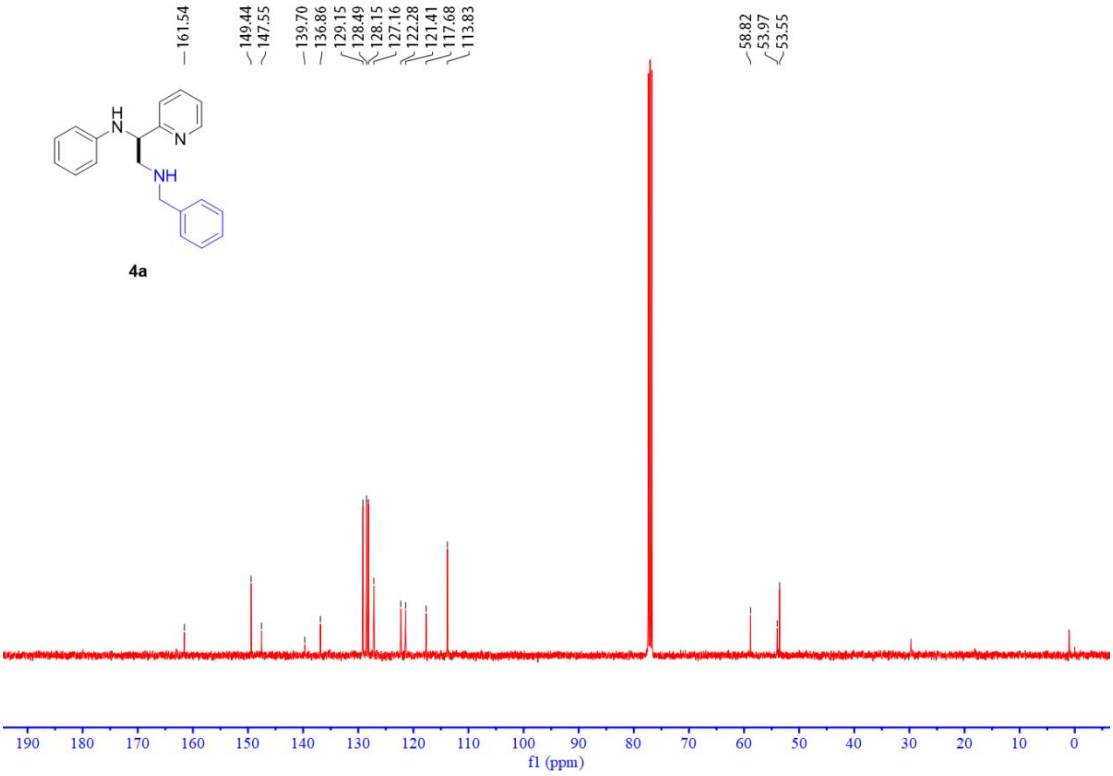
^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3an**



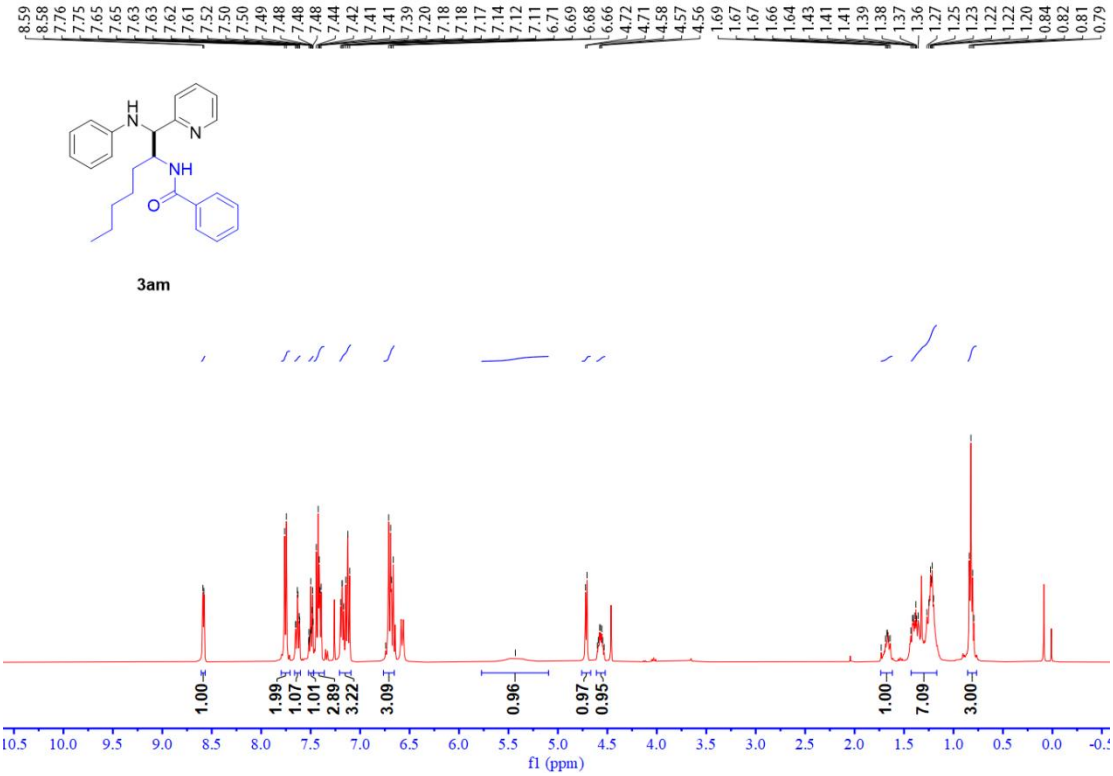
^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **4a**



^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **4a**



^{1}H NMR ($CDCl_3$, 400 MHz) spectra of compound **3am**



^{13}C{^{1}H} NMR ($CDCl_3$, 100 MHz) spectra of compound **3am**