

Supporting Information

**Access to Diverse Primary, Secondary, and Tertiary Amines *via* the Merger
of Controllable Cleavage of Triazines and Site-Selective Functionalization**

Yuhan Wang,¹ Huitao Zheng,¹ Jiajia Xu,¹ Canzhan Zhuang,¹ Xiang Liu*,¹ and Hua Cao*¹

¹ Guangdong Cosmetics Engineering & Technology Research Center, School of Chemistry and Chemical Engineering, Guangdong Pharmaceutical University, Zhongshan 528458, China

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1. General considerations

Unless otherwise noted, commercial reagents were purchased from Alfa Aesar, TCI, *J&K* or Adamas and used without further purification. All reactions were carried out using oven-dried glassware and all catalytic reactions proceeded without special care. Column chromatography was performed on 200-300 mesh silica gel (Huanghai, China).

^1H , ^{19}F and $^{13}\text{C}\{^1\text{H}\}$ NMR spectra were recorded on an Bruker Ascend 400MHz spectrometer and Bruker Ultrashield 300MHz at ambient temperature. ^1H NMR spectra are referred to the TMS signal ($\delta = 0$ ppm) and ^{13}C NMR spectra are referred to the residual solvent signal ($\delta = 77.16$ ppm). Data for ^1H NMR are reported as follows: chemical shifts (δ ppm), multiplicities (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad), coupling constants (Hz), integration.

The data of HRMS was carried out on a waters G2-XS high-resolution mass spectrometer (HR-ESI-MS).

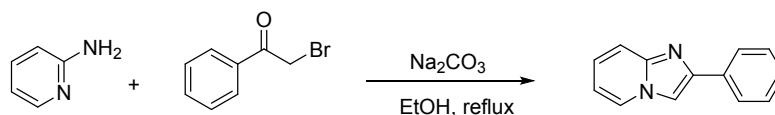
Melting point were recorded using a SGW X-4 Melting Point Apparatus.

2. Experimental procedures and characterization data

2.1 Experimental procedures

Synthesis of compounds 1 according to the following procedure¹:

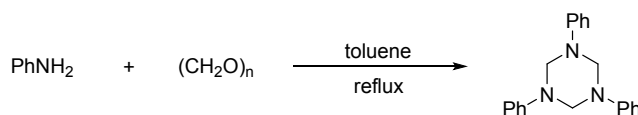
As exemplified for 2-phenylimidazo[1,2-*a*]pyridine:



Pyridin-2-amine (9.41 g, 100 mmol) was dissolved in C₂H₅OH (20.0 mL) and then Na₂CO₃ (21.2 g, 200 mmol) and 2-bromo-1-phenylethan-1-one (25.7 g, 130 mmol) were added, respectively. The reaction mixture was refluxed for 20 h, then cooled to room temperature, and the solvent was removed to afford an oily residue. The water (100 mL) was added into residue. The aqueous solution was extracted with EtOAc (3×30.0 mL) and the combined extract was dried with anhydrous MgSO₄. The solvent was removed and the crude product was separated by column chromatography (eluted with petroleum ether : ethyl acetate=1:1) to give a pure sample of 2-phenylimidazo[1,2-*a*]pyridine.

Synthesis of compounds 2 according to the following procedure²:

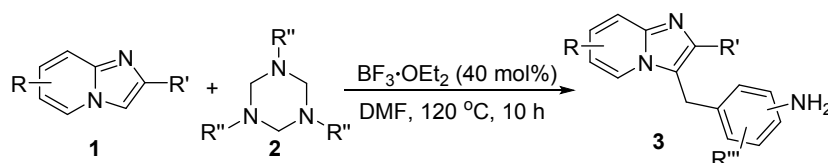
As exemplified for 1,3,5-triphenyl-1,3,5-triazinane:



In a 100 mL round-bottomed flask equipped with a Dean-Stark apparatus, a mixture of aniline (2.79 g, 30.0 mmol), paraformaldehyde (33.0 mmol), and toluene (50.0 mL) was heated with refluxing for 2 h. Then the solvent was concentrated under reduced pressure at 50 °C, a precipitate came out from the mixture. The precipitate was collected by filtration, washed with n-hexane several times, and dried to obtain 1,3,5-triazinane. All the triazinane substrates did not need to be further purified and proceed directly to the next step.

Synthesis of product 3 according to the following procedure:

As exemplified for **3a**:

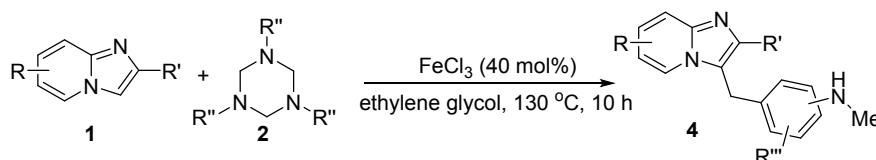


A pressure tube was charged with 2-phenylimidazo[1,2-*a*]pyridine (**1a**, 58.2 mg, 0.3 mmol), 1,3,5-triphenyl-

1,3,5-triazinane (**2a**, 142 mg, 0.45 mmol) and DMF (3.0 mL). $\text{BF}_3 \cdot \text{OEt}_2$ (17.0 mg, 0.12 mmol) was added and the mixtures were heated with a heating mantle at 120 °C for 10 h, then cooled to room temperature and the water (10.0 mL) was added. The aqueous solution was extracted with ethyl acetate (3×10.0 mL) and washed by water twice. After the combined extract was dried with anhydrous MgSO_4 , the solvent was volatilized. Finally, the crude product was separated by column chromatography (petroleum ethyl: ether acetate = 1: 1) to give a pure sample of **3a** in 78% yield (70.0 mg).

Synthesis of product 4 according to the following procedure:

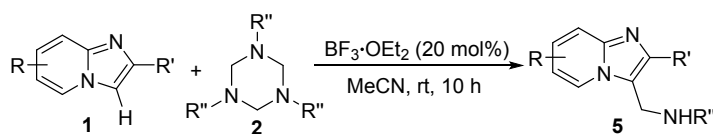
As exemplified for **4a**:



A pressure tube with 3.0 mL ethylene glycol was charged with a stirring bar, and FeCl_3 (19.4 mg, 0.12 mmol), 2-phenylimidazo[1,2-*a*]pyridine (**1a**, 58.2 mg, 0.3 mmol), 1,3,5-triphenyl-1,3,5-triazinane (**2a**, 142 mg, 0.45 mmol) were added. The reaction was heated with a heating mantle at 130 °C for 10 h, then cooled to room temperature. The aqueous solution was extracted with DCM (3×10.0 mL) and the solvent was volatilized. The crude product was separated by preparative TLC (petroleum ethyl: ether acetate = 2: 1) to give a pure sample of **4a** in 48% yield (45.1 mg).

Synthesis of product 5 according to the following procedure:

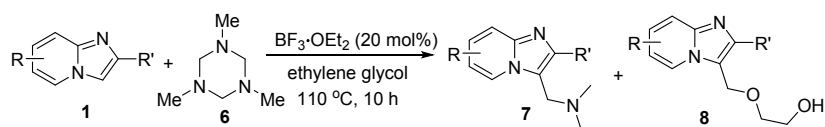
As exemplified for **5a**:



A pressure tube was charged with 2-phenylimidazo[1,2-*a*]pyridine (**1a**, 58.2 mg, 0.3 mmol), 1,3,5-triphenyl-1,3,5-triazinane (**2a**, 142 mg, 0.45 mmol) and MeCN (3.0 mL). $\text{BF}_3 \cdot \text{OEt}_2$ (8.50 mg, 0.06 mmol) was added and the mixtures was allowed to stir at room temperature for 10 h, then cooled to room temperature. The solvent was volatilized and the crude product was separated by column chromatography (petroleum ethyl: ether acetate = 2: 1) to give a pure sample of **5a** in 86% yield (77.2 mg).

Synthesis of product 7 and 8 according to the following procedure:

As exemplified for **7a** and **8a**:



A pressure tube was charged with 2-phenylimidazo[1,2-*a*]pyridine (**1a**, 58.2 mg, 0.3 mmol), 1,3,5-trimethyl-1,3,5-triazinane (**6**, 58.1 mg, 0.45 mmol) and toluene (3.0 mL). $\text{BF}_3 \cdot \text{OEt}_2$ (8.50 mg, 0.06 mmol) was added and the mixture was allowed to stir at room temperature for 10 h, then cooled to room temperature and the water (10.0 mL) was added. The aqueous solution was extracted with DCM (3×10.0 mL) and the solvent was volatilized. The crude product was separated by preparative TLC (petroleum ethyl: ether acetate = 1: 2) to give a pure sample of **7a** in 48% yield (36.2 mg) and **8a** in 44% yield (35.4 mg), respectively.

Large-scale reaction for 3a:

A pressure tube was charged with 2-phenylimidazo[1,2-*a*]pyridine (**1a**, 582 mg, 3.0 mmol), 1,3,5-triphenyl-1,3,5-triazinane (**2a**, 1.42 g, 4.5 mmol) and DMF (20.0 mL). $\text{BF}_3 \cdot \text{OEt}_2$ (170.4 mg, 1.2 mmol) was added and the mixture was heated with a heating mantle at 120°C for 10 h, then cooled to room temperature and the water (10.0 mL) was added. The aqueous solution was extracted with ethyl acetate (3×10.0 mL) and washed by water twice. After the combined extract was dried with anhydrous MgSO_4 , the solvent was volatilized. Finally, the crude product was separated by column chromatography (petroleum ethyl: ether acetate = 1: 1) to give a pure sample of **3a** in 72% yield (0.646 g).

Large-scale reaction for 5a:

A pressure tube was charged with 2-phenylimidazo[1,2-*a*]pyridine (**1a**, 582 mg, 3.0 mmol), 1,3,5-triphenyl-1,3,5-triazinane (**2a**, 1.42 g, 4.5 mmol) and MeCN (20.0 mL). $\text{BF}_3 \cdot \text{OEt}_2$ (85.2 mg, 0.6 mmol) was added and the mixture was allowed to stir at room temperature for 10 h. The solvent was volatilized and the crude product was separated by column chromatography (petroleum ethyl: ether acetate = 2: 1) to give a pure sample of **5a** in 84% yield (0.754 g).

Synthesis of product 10a and 10b according to the following procedure:

9a (0.330 mmol) or **9b** (0.330 mmol) was dissolved ethyl acetate (2.0 mL) and then DIPEA (0.30 mmol) and BOP (0.30 mmol) was added, the mixture was allowed to stir at room temperature for 5 mins. Then, DIPEA (0.45 mmol) and **3a** (0.30 mmol) were added, respectively. The mixture was allowed to stir at room temperature for 10 h. Finally, the solvent was volatilized and the crude product was separated by column chromatography (petroleum ethyl: ether acetate = 1: 2) to give a pure sample of **10a** in 84% yield (126 mg) or **10b** in 86% yield (125 mg).

Synthesis of product **10c**, **10d** and **10f** according to the following procedure:

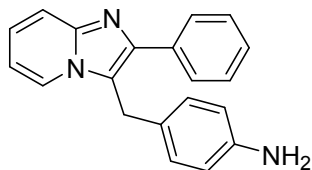
3a (0.30 mmol) was dissolved in *n*-butylalcohol (2.0 mL) and then **9c** (0.60 mmol) or **9d** (0.60 mmol) or **9f** (0.60 mmol) was added. The mixtures were heated with a heating mantle at 160 °C for 1 h. The water (10.0 mL) was added and the aqueous solution was extracted with ethyl acetate (3×10.0 mL). Then, the combined extract was dried with anhydrous MgSO₄, the solvent was volatilized. Finally, the crude product was separated by column chromatography (petroleum ethyl: ether acetate = 1: 2) to give a pure sample of **10c** in 71% yield (104 mg), **10d** in 65% yield (81.2 mg), **10f** in 65% yield (98.1 mg), respectively.

Synthesis of product **10e** according to the following procedure:

To a solution of compound **9e** (0.39 mmol) and **3a** (0.30 mmol) in anhydrous 1,4-dioxane (2.0 mL) was added *t*-BuONa (0.60 mmol), the mixture was bubbled with N₂ for 5 min, then Pd₂(dba)₃ (0.06 mmol) and Xphos (0.12 mmol) was added, the mixture was further bubbled with N₂ for 5 min, the mixture was heated to 100 °C for 8 h. Subsequently, the resulting solution was filtered through Celite and concentrated. Finally, the residue was purified by column chromatography (petroleum ethyl: ether acetate = 1: 2) to give a pure sample of **10e** in 64% yield (136 mg).

2.2 Characterization data

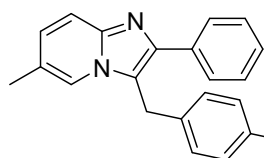
4-((2-Phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3a**)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3a**. Yellow solid (70.0 mg, 78%), mp 106.2-106.8 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.80 (d, *J* = 6.9 Hz, 2H), 7.73 (d, *J* = 7.0 Hz, 1H), 7.69 (d, *J* = 9.0 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.35 (t, *J* = 7.4 Hz, 1H), 7.22 – 7.14 (m, 1H),

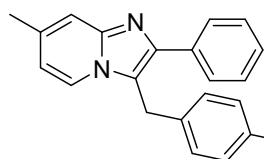
6.92 (d, $J = 8.1$ Hz, 2H), 6.71 (t, $J = 6.8$ Hz, 1H), 6.62 (d, $J = 8.4$ Hz, 2H), 4.38 (s, 2H), 3.34 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.4, 144.6, 134.2, 128.8, 128.6, 128.4, 128.0, 126.2, 124.6, 123.8, 118.6, 117.4, 115.8, 112.4, 29.2. HR-ESI-MS (m/z): calcd for $\text{C}_{20}\text{H}_{18}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 300.1501, found: 300.1499.

4-((6-Methyl-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3b**)



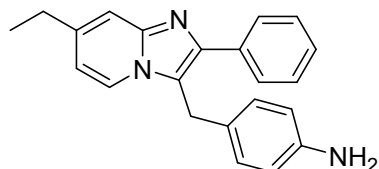
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3b**. Yellow solid (71.4 mg, 76%), mp 136.3-136.9 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.78 (d, $J = 7.1$ Hz, 2H), 7.58 (d, $J = 7.0$ Hz, 1H), 7.46 – 7.37 (m, 3H), 7.32 (t, $J = 7.3$ Hz, 1H), 6.90 (d, $J = 8.0$ Hz, 2H), 6.59 (d, $J = 8.4$ Hz, 2H), 6.50 (d, $J = 7.0$ Hz, 1H), 4.33 (s, 2H), 3.54 (s, 2H), 2.36 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.2, 145.2, 143.4, 135.0, 134.8, 128.6, 128.6, 128.2, 127.6, 126.4, 126.4, 122.8, 117.8, 115.6, 114.8, 29.0, 21.4. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 314.1657, found: 314.1657.

4-((7-Methyl-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3c**)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3c**. Yellow solid (70.5 mg, 75%), mp 130.3-130.9 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.79 (d, $J = 8.9$ Hz, 2H), 7.58 (d, $J = 6.9$ Hz, 1H), 7.41 (t, $J = 7.6$ Hz, 3H), 7.32 (t, $J = 7.4$ Hz, 1H), 6.89 (d, $J = 8.1$ Hz, 2H), 6.59 (d, $J = 8.1$ Hz, 2H), 6.50 (d, $J = 6.9$ Hz, 1H), 4.32 (s, 2H), 3.56 (s, 2H), 2.36 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.2, 145.2, 143.4, 135.0, 134.8, 128.6, 128.6, 128.2, 127.6, 126.4, 122.8, 117.8, 115.8, 115.6, 114.6, 29.0, 21.4. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 314.1657, found: 314.1656.

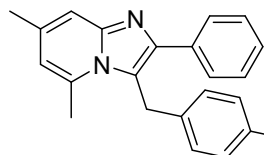
4-((7-Ethyl-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3d**)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3d**. Yellow solid (78.5 mg, 80%), mp 160.8-161.2 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.79 (d, $J = 7.5$ Hz, 2H), 7.61 (d, $J = 7.0$ Hz, 1H), 7.43 (dd, $J = 14.2, 6.9$ Hz, 3H), 7.36 – 7.30 (m, 1H), 6.91 (d, $J = 7.9$ Hz, 2H), 6.60 (d, $J = 8.3$ Hz, 2H), 6.55 (d, $J = 6.8$ Hz, 1H), 4.34 (s, 2H), 3.44 (s, 2H), 2.67 (q, $J = 7.7$ Hz, 2H), 1.26 (t, $J = 7.5$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.4, 145.2, 143.4, 141.4, 134.8, 128.6, 128.6,

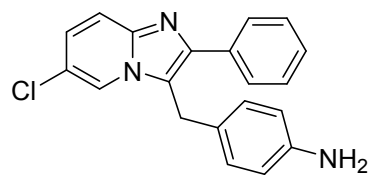
128.2, 127.6, 126.6, 123.0, 117.8, 115.8, 114.4, 113.8, 29.2, 28.4, 14.6. HR-ESI-MS (m/z): calcd for $C_{22}H_{22}N_3$ [$M + H$] $^+$: 328.1814, found: 328.1817.

4-((5,7-Dimethyl-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3e**)



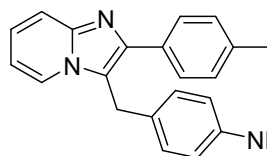
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3e**. Yellow solid (68.7 mg, 70%), mp 211.6-212.4 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.67 – 7.63 (m, 2H), 7.39 – 7.34 (m, 2H), 7.33 – 7.28 (m, 2H), 6.81 (d, $J = 8.1$ Hz, 2H), 6.63 (d, $J = 8.4$ Hz, 2H), 6.27 (s, 1H), 4.50 (s, 2H), 3.52 (m, 2H), 2.58 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 147.2, 144.8, 135.6, 131.0, 128.6, 128.6, 127.6, 119.0, 116.4, 115.8, 114.2, 30.8, 21.0, 20.0. HR-ESI-MS (m/z): calcd for $C_{22}H_{22}N_3$ [$M + H$] $^+$: 328.1814, found: 328.1816.

4-((6-Chloro-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3f**)



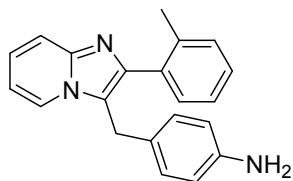
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3f**. Yellow solid (70.9 mg, 71%), mp 158.6-159.3 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.77 (d, $J = 7.7$ Hz, 3H), 7.61 (d, $J = 9.5$ Hz, 1H), 7.43 (t, $J = 7.5$ Hz, 2H), 7.36 (t, $J = 7.4$ Hz, 1H), 7.13 (dd, $J = 9.5, 1.9$ Hz, 1H), 6.91 (d, $J = 8.0$ Hz, 2H), 6.64 (d, $J = 8.2$ Hz, 2H), 4.35 (s, 2H), 3.11 (d, $J = 165.9$ Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 145.6, 145.0, 143.2, 134.2, 128.8, 128.6, 128.2, 128.0, 125.8, 125.6, 121.4, 120.4, 119.2, 118.0, 115.8, 29.2. HR-ESI-MS (m/z): calcd for $C_{20}H_{17}ClN_3$ [$M + H$] $^+$: 334.1111, found: 334.1115.

4-((2-(*P*-tolyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3g**)



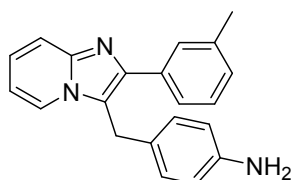
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3g**. Yellow solid (68.6 mg, 73%), mp 133.4-134.3 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, $J = 7.9$ Hz, 3H), 7.66 (d, $J = 9.0$ Hz, 1H), 7.23 (d, $J = 7.8$ Hz, 2H), 7.17 – 7.11 (m, 1H), 6.90 (d, $J = 8.2$ Hz, 2H), 6.66 (t, $J = 7.3$ Hz, 1H), 6.59 (d, $J = 8.4$ Hz, 2H), 4.35 (s, 2H), 3.52 (s, 2H), 2.38 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 145.2, 144.6, 143.8, 137.4, 131.6, 129.4, 128.6, 128.2, 126.4, 124.2, 123.6, 118.2, 117.4, 115.6, 112.0, 29.2, 21.4. HR-ESI-MS (m/z): calcd for $C_{21}H_{20}N_3$ [$M + H$] $^+$: 314.1657, found: 314.1660.

4-((2-(*O*-tolyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3h**)



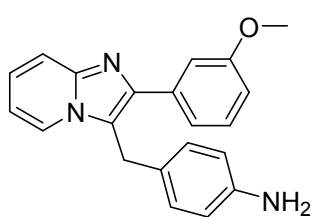
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3h**. Yellow solid (66.7 mg, 71%), mp 143.6-144.2 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.71 (d, *J* = 6.8 Hz, 1H), 7.63 (d, *J* = 9.1 Hz, 1H), 7.36 (d, *J* = 6.9 Hz, 1H), 7.29 (d, *J* = 6.3 Hz, 2H), 7.21 (dt, *J* = 7.1, 3.7 Hz, 1H), 7.17 – 7.12 (m, 1H), 6.82 (d, *J* = 8.1 Hz, 2H), 6.69 – 6.65 (m, 1H), 6.58 – 6.53 (m, 2H), 4.13 (s, 2H), 3.45 (s, 2H), 2.36 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 145.2, 144.6, 144.4, 137.8, 134.0, 130.6, 130.4, 128.6, 128.2, 126.4, 125.4, 124.0, 123.8, 119.4, 117.4, 115.6, 111.8, 28.8, 20.4. HR-ESI-MS (*m/z*): calcd for C₂₁H₂₀N₃ [M + H]⁺: 314.1657, found: 314.1660.

4-((2-(*M*-tolyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3i**)



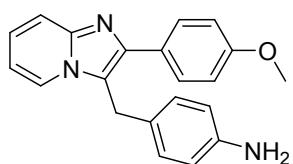
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3i**. Yellow solid (68.6 mg, 73%), mp 128.2-128.8 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.75 – 7.66 (m, 3H), 7.53 (d, *J* = 7.7 Hz, 1H), 7.30 (t, *J* = 7.6 Hz, 1H), 7.21 – 7.13 (m, 2H), 6.92 (d, *J* = 8.1 Hz, 2H), 6.70 (t, *J* = 6.2 Hz, 1H), 6.62 (d, *J* = 8.4 Hz, 2H), 4.38 (s, 2H), 3.51 (d, *J* = 93.5 Hz, 2H), 2.40 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 145.4, 144.8, 138.4, 134.4, 129.2, 128.8, 128.6, 128.6, 126.4, 125.2, 124.2, 123.8, 118.6, 117.4, 115.8, 112.2, 29.2, 21.6. HR-ESI-MS (*m/z*): calcd for C₂₁H₂₀N₃ [M + H]⁺: 314.1657, found: 314.1664.

4-((2-(3-Methoxyphenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3j**)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3j**. Brown solid (77.0 mg, 78%), mp 173.7-174.2 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.73 (d, *J* = 6.9 Hz, 1H), 7.67 (d, *J* = 9.0 Hz, 1H), 7.39 – 7.29 (m, 3H), 7.18 – 7.13 (m, 1H), 6.90 (d, *J* = 8.4 Hz, 3H), 6.69 (t, *J* = 6.8 Hz, 1H), 6.60 (d, *J* = 8.3 Hz, 2H), 4.37 (s, 2H), 3.80 (s, 3H), 3.37 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 156.0, 145.4, 144.8, 143.8, 136.0, 129.6, 128.6, 126.4, 124.2, 123.6, 120.6, 118.6, 117.4, 115.8, 114.2, 113.2, 112.2, 55.4, 29.2. HR-ESI-MS (*m/z*): calcd for C₂₁H₂₀N₃O [M + H]⁺: 330.1606, found: 330.1614.

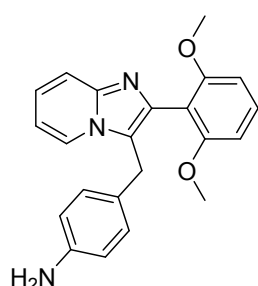
4-((2-(4-Methoxyphenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3k**)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3k**. Yellow solid (70.3mg, 71%), mp 168.3-169.0 °C. ¹H NMR (400 MHz,

CDCl₃) δ 7.72 (d, *J* = 8.4 Hz, 2H), 7.70 – 7.63 (m, 2H), 7.14 (t, *J* = 7.9 Hz, 1H), 6.96 (d, *J* = 8.6 Hz, 2H), 6.90 (d, *J* = 8.1 Hz, 2H), 6.67 (t, *J* = 7.0 Hz, 1H), 6.60 (d, *J* = 8.4 Hz, 2H), 4.34 (s, 2H), 3.82 (s, 3H), 3.55 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 159.4, 145.2, 144.6, 143.6, 129.4, 128.6, 127.2, 126.4, 124.0, 123.6, 117.8, 117.2, 115.8, 114.2, 112.0, 55.4, 29.2. HR-ESI-MS (*m/z*): calcd for C₂₁H₂₀N₃O [M + H]⁺: 330.1606, found: 330.1609.

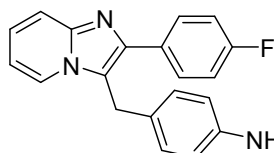
4-((2-(2,6-Dimethoxyphenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)anilinee (3l)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3l**.

Brown solid (74.3mg, 69%), mp 132.2-133.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.70 – 7.64 (m, 2H), 7.19 (s, 1H), 7.16 – 7.11 (m, 1H), 6.89 (d, *J* = 5.3 Hz, 4H), 6.66 (t, *J* = 6.9 Hz, 1H), 6.57 (d, *J* = 8.2 Hz, 2H), 4.16 (s, 2H), 3.78 (s, 3H), 3.62 (s, 3H), 3.39 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 153.6, 151.4, 145.0, 144.6, 129.0, 126.8, 124.4, 123.8, 120.6, 117.4, 117.0, 115.6, 115.2, 112.4, 112.0, 56.0, 29.4. HR-ESI-MS (*m/z*): calcd for C₂₂H₂₂N₃O₂ [M + H]⁺: 360.1712, found: 360.1715.

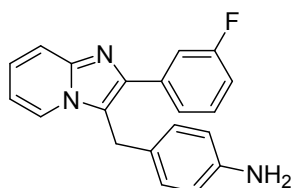
4-((2-(4-Fluorophenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (3m)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford

3m. Yellow solid (80.9 mg, 85%), mp 138.4-139.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.69 (m, 3H), 7.65 (d, *J* = 9.0 Hz, 1H), 7.18 – 7.14 (m, 1H), 7.10 (t, *J* = 8.7 Hz, 2H), 6.89 (d, *J* = 8.1 Hz, 2H), 6.69 (t, *J* = 6.5 Hz, 1H), 6.60 (d, *J* = 8.3 Hz, 2H), 4.33 (s, 2H), 3.57 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 162.6 (d, *J* = 246.8 Hz), 145.4, 144.8, 143.0, 130.8 (d, *J* = 3.0 Hz), 130.0 (d, *J* = 8.1 Hz), 126.0, 124.4, 123.6, 118.2, 117.4, 115.8, 115.6, 112.2, 29.0. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₇FN₃ [M + H]⁺: 318.1407, found: 318.1406.

4-((2-(3-Fluorophenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (3n)

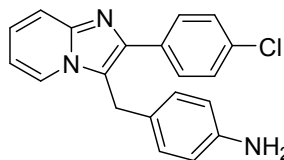


Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford

3n. Brown solid (66.6 mg, 70%), mp 153.8-154.5 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.70 (q, *J* = 10.0, 8.8 Hz, 3H), 7.35 (td, *J* = 7.8, 3.3 Hz, 1H), 7.26 – 7.21 (m, 1H), 7.19 – 7.12 (m, 2H), 6.88 (d, *J* = 8.1 Hz, 2H), 6.68 (t, *J* = 6.7 Hz, 1H), 6.62 – 6.55 (m, 2H), 4.23 (s, 2H), 3.43 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 160.0 (d, *J* = 247.8 Hz),

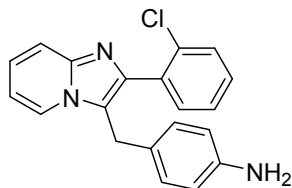
145.2, 145.0, 138.6, 132.2 (d, $J = 3.4$ Hz), 129.8 (d, $J = 8.1$ Hz), 128.8, 126.3, 124.4 (d, $J = 3.5$ Hz), 124.2, 124.0, 122.6 (d, $J = 14.7$ Hz), 120.4, 117.6, 116.0 (d, $J = 22.4$ Hz), 115.6, 112.2, 29.2. HR-ESI-MS (m/z): calcd for $C_{20}H_{17}FN_3$ [$M + H$] $^+$: 318.1407, found: 318.1408.

4-((2-(4-Chlorophenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (3o)



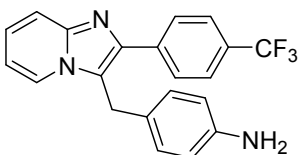
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3o**. Yellow solid (68.0 mg, 68%), mp 181.8-182.3 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.73 (dd, $J = 7.8, 3.5$ Hz, 4H), 7.67 (d, $J = 8.9$ Hz, 1H), 7.44 – 7.35 (m, 2H), 7.25 – 7.14 (m, 1H), 6.90 (d, $J = 8.2$ Hz, 2H), 6.72 (t, $J = 7.4$ Hz, 1H), 6.62 (d, $J = 8.3$ Hz, 2H), 4.35 (s, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 145.4, 144.8, 142.4, 133.8, 132.8, 129.6, 129.0, 129.0, 128.6, 126.0, 124.8, 123.8, 118.6, 117.4, 115.8, 112.6, 29.0. HR-ESI-MS (m/z): calcd for $C_{20}H_{17}ClN_3$ [$M + H$] $^+$: 334.1111, found: 334.1116.

4-((2-(2-Chlorophenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (3p)



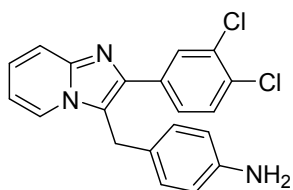
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3p**. Yellow solid (80.9 mg, 81%), mp 168.3-169.0 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, $J = 2.0$ Hz, 1H), 7.73 (d, $J = 6.9$ Hz, 1H), 7.69 – 7.60 (m, 2H), 7.32 (d, $J = 7.1$ Hz, 2H), 7.20 – 7.14 (m, 1H), 6.89 (d, $J = 8.1$ Hz, 2H), 6.70 (t, $J = 7.4$ Hz, 1H), 6.61 (d, $J = 8.3$ Hz, 2H), 4.35 (s, 2H), 3.53 (s, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 145.4, 144.8, 142.4, 136.6, 134.6, 130.0, 128.6, 128.4, 127.8, 126.2, 126.0, 124.6, 123.8, 119.0, 117.6, 115.8, 112.4, 29.0. HR-ESI-MS (m/z): calcd for $C_{20}H_{17}ClN_3$ [$M + H$] $^+$: 334.1111, found: 334.1116.

4-((2-(4-(Trifluoromethyl)phenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (3q)



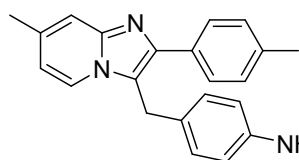
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3q**. Yellow solid (83.7mg, 76%), mp 200.2-221.0 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.91 (d, $J = 8.1$ Hz, 2H), 7.77 (d, $J = 6.9$ Hz, 1H), 7.69 (dd, $J = 14.1, 8.5$ Hz, 3H), 7.25 – 7.20 (m, 1H), 6.90 (d, $J = 7.9$ Hz, 2H), 6.76 (t, $J = 6.8$ Hz, 1H), 6.63 (d, $J = 8.0$ Hz, 2H), 4.38 (s, 2H), 3.24 (s, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 145.6, 144.8, 140.0 (q, $J = 236.5$ Hz), 138.0, 129.6 (q, $J = 3.3$ Hz), 128.6, 128.4, 125.8, 125.7 (q, $J = 7.0$ Hz), 125.0, 123.8, 119.4, 117.6, 115.8, 112.8, 29.2. HR-ESI-MS (m/z): calcd for $C_{21}H_{17}F_3N_3$ [$M + H$] $^+$: 368.1375, found: 368.1374.

4-((2-(3,4-Dichlorophenyl)imidazo[1,2-a]pyridin-3-yl)methyl)aniline (3r)



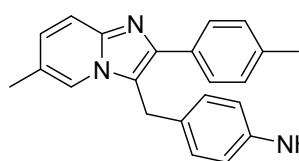
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3r**. Yellow solid (79.3 mg, 72%), mp 155.7-156.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.94 (d, *J* = 2.0 Hz, 1H), 7.75 (d, *J* = 6.9 Hz, 1H), 7.66 (d, *J* = 8.8 Hz, 1H), 7.58 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.46 (d, *J* = 8.3 Hz, 1H), 7.24 – 7.16 (m, 1H), 6.88 (d, *J* = 8.1 Hz, 2H), 6.73 (t, *J* = 6.8 Hz, 1H), 6.64 – 6.60 (m, 2H), 4.35 (s, 2H), 3.44 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 145.6, 145.0, 141.4, 134.8, 133.0, 131.8, 130.6, 130.0, 128.6, 127.2, 125.8, 124.8, 123.8, 119.2, 117.6, 115.8, 112.6, 29.2. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₆Cl₂N₃ [M + H]⁺: 368.0721, found: 368.0718.

4-((7-Methyl-2-(*p*-tolyl)imidazo[1,2-a]pyridin-3-yl)methyl)aniline (3s)



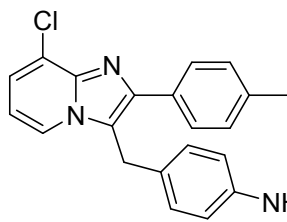
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3s**. Yellow solid (70.7 mg, 72%), mp 104.0-104.8 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.68 (d, *J* = 8.0 Hz, 2H), 7.57 (d, *J* = 6.9 Hz, 1H), 7.41 (s, 1H), 7.22 (d, *J* = 7.9 Hz, 2H), 6.90 (d, *J* = 8.2 Hz, 2H), 6.59 (d, *J* = 8.3 Hz, 2H), 6.50 (dd, *J* = 7.0, 1.6 Hz, 1H), 4.32 (s, 2H), 3.52 (s, 2H), 2.37 (s, 3H), 2.36 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 145.2, 145.2, 143.4, 137.4, 135.0, 131.8, 129.4, 128.6, 128.0, 126.6, 122.8, 117.4, 115.8, 115.6, 114.6, 29.0, 21.4, 21.4. HR-ESI-MS (*m/z*): calcd for C₂₂H₂₂N₃ [M + H]⁺: 328.1814, found: 328.1814.

4-((6-Methyl-2-(*p*-tolyl)imidazo[1,2-a]pyridin-3-yl)methyl)aniline (3t)



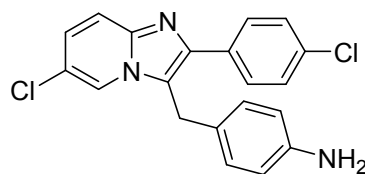
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3t**. Brown solid (70.7 mg, 72%), mp 107.2-108.1 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.72 – 7.65 (m, 3H), 7.52 (s, 1H), 7.23 (d, *J* = 7.6 Hz, 2H), 7.10 – 7.07 (m, 1H), 6.92 (d, *J* = 8.0 Hz, 2H), 6.63 (d, *J* = 8.0 Hz, 2H), 4.33 (s, 2H), 3.98 – 3.73 (m, 2H), 2.37 (s, 3H), 2.25 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 145.4, 143.0, 137.8, 129.6, 128.6, 128.4, 128.2, 128.0, 126.2, 122.6, 121.4, 118.0, 116.2, 116.0, 115.8, 29.0, 21.4, 18.6. HR-ESI-MS (*m/z*): calcd for C₂₂H₂₂N₃ [M + H]⁺: 328.1814, found: 328.1818.

4-((8-Chloro-2-(*p*-tolyl)imidazo[1,2-a]pyridin-3-yl)methyl)aniline (3u)



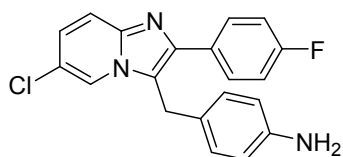
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3u**. Yellow solid (87.5 mg, 84%), mp 152.9-153.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.71 (d, *J* = 7.8 Hz, 2H), 7.64 (d, *J* = 6.8 Hz, 1H), 7.23 (dd, *J* = 7.5, 4.9 Hz, 3H), 6.89 (d, *J* = 8.0 Hz, 2H), 6.60 (d, *J* = 7.6 Hz, 3H), 4.35 (s, 2H), 3.48 (s, 2H), 2.38 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 145.4, 144.8, 142.2, 137.8, 131.4, 129.4, 128.6, 128.4, 126.0, 123.2, 123.0, 122.4, 120.0, 115.8, 111.6, 29.4 21.4. HR-ESI-MS (*m/z*): calcd for C₂₁H₁₉ClN₃ [M + H]⁺: 348.1268, found: 348.1271.

4-((6-Chloro-2-(4-chlorophenyl)imidazo[1,2-a]pyridin-3-yl)methyl)aniline (3v)



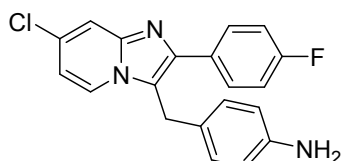
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3v**. Black solid (76.0 mg, 69%), mp 132.6-133.1 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.77 (s, 1H), 7.70 (d, *J* = 8.3 Hz, 2H), 7.65 (d, *J* = 9.4 Hz, 1H), 7.39 (d, *J* = 8.1 Hz, 2H), 7.18 (d, *J* = 9.4 Hz, 1H), 6.88 (d, *J* = 7.9 Hz, 2H), 6.64 (d, *J* = 8.0 Hz, 2H), 4.32 (s, 2H), 3.41 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 145.6, 143.4, 143.0, 134.2, 132.4, 129.4, 129.0, 128.6, 126.2, 125.2, 121.6, 120.8, 119.4, 117.8, 116.0, 29.0. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₆Cl₂N₃ [M + H]⁺: 368.0724, found: 368.0721.

4-((6-Chloro-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl)methyl)aniline (3w)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3w**. Brown solid (84.3 mg, 80%), mp 159.8-160.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.69 (m, 3H), 7.59 (d, *J* = 9.5 Hz, 1H), 7.17 – 7.07 (m, 3H), 6.89 (d, *J* = 8.1 Hz, 2H), 6.64 (d, *J* = 8.4 Hz, 2H), 4.32 (s, 2H), 3.49 (s, 2H). ¹³C NMR (100 Hz, CDCl₃) δ 162.8 (d, *J* = 247.7 Hz), 145.6 144.0, 143.2, 130.2 (d, *J* = 3.0 Hz), 130.0 (d, *J* = 8.2 Hz), 128.6, 125.8, 125.4, 121.4, 120.6, 119.0, 117.8, 116.0, 115.6, 29.0. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₆ClFN₃ [M + H]⁺: 352.1017, found: 352.1021.

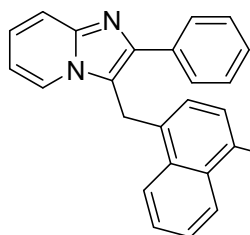
4-((7-Chloro-2-(4-fluorophenyl)imidazo[1,2-a]pyridin-3-yl)methyl)aniline (3x)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3x**. Brown solid (75.8 mg, 72%), mp 168.2-169.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.79 – 7.70 (m, 3H), 7.58 (d, *J* = 9.5 Hz, 1H), 7.16 – 7.07

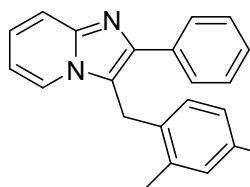
(m, 3H), 6.88 (d, $J = 8.1$ Hz, 2H), 6.62 (d, $J = 8.2$ Hz, 2H), 4.30 (s, 2H), 3.50 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 162.8 (d, $J = 247.5$ Hz), 145.6, 144.0, 143.2, 130.4(d, $J = 3.0$ Hz), 129.8 (d, $J = 8.1$ Hz), 128.6, 125.6, 125.4, 121.4, 120.4, 119.0, 117.8, 115.8, 115.6, 29.0. HR-ESI-MS (m/z): calcd for $\text{C}_{20}\text{H}_{16}\text{ClFN}_3$ [$\text{M} + \text{H}$] $^+$: 352.1017, found: 352.1020.

4-((2-Phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)naphthalen-1-amine (3y)



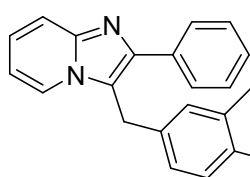
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3y**. Brown solid (53.4 mg, 51%). ^1H NMR (400 MHz, CDCl_3) δ 8.13 (d, $J = 8.8$ Hz, 1H), 7.95 (dd, $J = 8.4, 1.4$ Hz, 1H), 7.79 – 7.74 (m, 3H), 7.67 – 7.64 (m, 1H), 7.63 – 7.55 (m, 2H), 7.38 (t, $J = 7.4$ Hz, 2H), 7.32 (d, $J = 7.1$ Hz, 1H), 7.24 – 7.19 (m, 1H), 6.72 – 6.67 (m, 1H), 6.67 – 6.57 (m, 2H), 4.77 (s, 2H), 4.11 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 144.8, 141.8, 134.0, 132.6, 128.8, 128.8, 128.2, 128.0, 126.6, 125.2, 125.0, 124.8, 124.6, 123.8, 122.0, 121.8, 117.8, 117.4, 112.6, 109.6, 27.0. HR-ESI-MS (m/z): calcd for $\text{C}_{24}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 350.1657, found: 350.1661.

2-Methyl-4-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (3z)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3z**. Yellow solid (76.1mg, 81%), mp 177.4-178.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.74 (d, $J = 7.1$ Hz, 2H), 7.69 (d, $J = 9.0$ Hz, 1H), 7.63 (d, $J = 6.9$ Hz, 1H), 7.41 (t, $J = 7.4$ Hz, 2H), 7.32 (t, $J = 7.4$ Hz, 1H), 7.17 (t, $J = 7.9$ Hz, 1H), 6.69 (t, $J = 6.7$ Hz, 1H), 6.61 (d, $J = 2.5$ Hz, 1H), 6.43 (d, $J = 8.1$ Hz, 1H), 6.33 (dd, $J = 8.2, 2.4$ Hz, 1H), 4.24 (s, 2H), 3.50 (s, 2H), 2.33 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.2, 144.8, 144.0, 137.4, 134.6, 128.6, 128.2, 127.6, 127.6, 124.2, 124.2, 123.6, 118.0, 117.6, 117.4, 113.0, 112.2, 26.8, 19.8. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 314.1657, found: 314.1657.

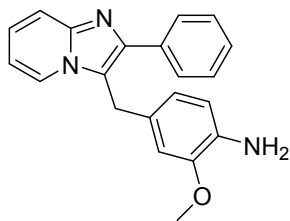
2-(4-Fluorophenyl)-*N*-phenylindolizine-3-carboxamide (3aa)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3aa**. Yellow solid (74.2 mg, 79%), mp 165.4-166.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.82 (d, $J = 8.4$ Hz, 2H), 7.72 (d, $J = 6.9$ Hz, 1H), 7.67 (d, $J = 9.0$ Hz, 1H), 7.43 (t, $J = 7.5$ Hz, 2H), 7.34 (t, $J = 7.4$ Hz, 1H), 7.19 – 7.12 (m, 1H),

6.83 (s, 1H), 6.79 (d, $J = 8.0$ Hz, 1H), 6.68 (t, $J = 6.9$ Hz, 1H), 6.59 (d, $J = 8.0$ Hz, 1H), 4.36 (s, 2H), 3.48 (s, 2H), 2.09 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 144.8, 143.8, 143.4, 134.6, 129.8, 128.6, 128.2, 127.6, 126.4, 126.2, 124.2, 123.8, 123.0, 118.6, 117.4, 115.4, 112.0, 29.2, 17.8, 17.6. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 314.1657, found: 314.1660.

2-Methoxy-4-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3ab**)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford

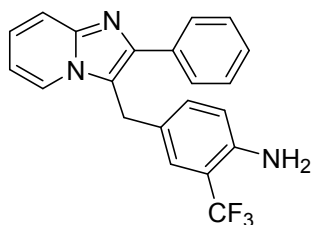
3ab. Brown solid (80.0 mg, 81%), mp 173.8-174.3 °C. ^1H NMR (400 MHz,

CDCl_3) δ 7.81 (d, $J = 7.1$ Hz, 2H), 7.75 (d, $J = 6.9$ Hz, 1H), 7.70 (d, $J = 9.0$ Hz, 1H), 7.44 (t, $J = 7.5$ Hz, 2H), 7.35 (t, $J = 7.3$ Hz, 1H), 7.22 – 7.16 (m, 1H), 6.72

(t, $J = 6.8$ Hz, 1H), 6.63 (d, $J = 7.9$ Hz, 1H), 6.57 (s, 1H), 6.54 (d, $J = 8.0$ Hz, 1H), 4.41 (s, 2H), 3.72 (s, 3H).

^{13}C NMR (100 MHz, CDCl_3) δ 148.0 144.8, 143.8, 135.0, 134.4, 128.8, 128.4, 127.8, 126.6, 124.4, 123.8, 120.0, 118.4, 117.4, 115.4, 112.4, 110.0, 55.6, 29.6. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{20}\text{N}_3\text{O}$ [$\text{M} + \text{H}$] $^+$: 330.1606, found: 330.1613.

4-((2-Phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)-2-(trifluoromethyl)aniline(**3ac**)



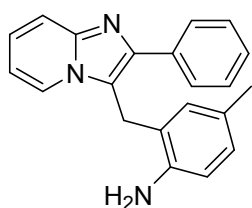
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford

3ac. Yellow solid (55.1 mg, 50%), mp 160.3-160.9 °C. ^1H NMR (400 MHz,

CDCl_3) δ 7.78 – 7.74 (m, 2H), 7.69 (d, $J = 7.9$ Hz, 2H), 7.43 (t, $J = 7.5$ Hz, 2H), 7.36 (d, $J = 7.3$ Hz, 1H), 7.27 (s, 1H), 7.21 – 7.16 (m, 1H), 6.94 (d, $J = 8.4$ Hz,

1H), 6.73 (t, $J = 6.8$ Hz, 1H), 6.63 (d, $J = 8.4$ Hz, 1H), 4.38 (s, 2H), 4.16 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.0, 144.2, 143.6, 134.4, 132.0, 128.8, 128.2, 128.0, 125.8 (d, $J = 4.9$ Hz), 125.8, 124.4, 123.4, 120.6 (d, $J = 286.5$ Hz), 118.0, 117.4, 114.4 (d, $J = 15.0$ Hz), 28.8. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{17}\text{F}_3\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 368.1375, found: 368.1379.

2-Methyl-5-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3ad**)



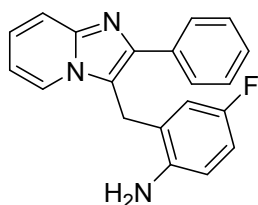
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3ad**.

Yellow solid (64.8 mg, 69%), mp 176.4-177.2 °C. ^1H NMR (400 MHz, CDCl_3) δ

7.78 – 7.69 (m, 4H), 7.43 (t, $J = 7.5$ Hz, 2H), 7.35 (t, $J = 7.4$ Hz, 1H), 7.24 – 7.18 (m, 1H), 6.91 (d, $J = 7.0$ Hz, 1H), 6.74 (t, $J = 6.7$ Hz, 1H), 6.69 (d, $J = 8.0$ Hz, 1H), 6.47

(d, $J = 2.0$ Hz, 1H), 4.19 (s, 2H), 3.46 (s, 2H), 2.08 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.0, 144.0, 142.0, 134.2, 128.8, 128.8, 128.6, 128.4, 128.2, 128.0, 124.6, 123.8, 120.8, 117.4, 117.0, 116.2, 112.6, 25.8, 20.6. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 314.1657, found: 314.1659.

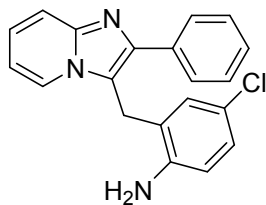
2-Fluoro-5-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3ae**)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3ae**.

Brown solid (65.6mg, 69%), mp 211.8-212.0 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.72 (d, $J = 8.9$ Hz, 3H), 7.69 (d, $J = 6.8$ Hz, 1H), 7.42 (t, $J = 7.5$ Hz, 2H), 7.35 (t, $J = 7.3$ Hz, 1H), 7.25 – 7.20 (m, 1H), 6.83 – 6.74 (m, 2H), 6.70 (dd, $J = 8.7, 4.8$ Hz, 1H), 6.38 (dd, $J = 9.4, 2.9$ Hz, 1H), 4.19 (s, 2H), 3.28 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 158.0, 155.8, 145.0, 144.2, 140.6, 134.0, 128.8, 128.4, 128.2, 125.0, 123.6, 122.6 (d, $J = 6.0$ Hz), 117.6, 117.2 (d, $J = 7.5$ Hz), 116.2, 114.6 (d, $J = 2.2$ Hz), 114.4, 112.8, 26.0. HR-ESI-MS (m/z): calcd for $\text{C}_{20}\text{H}_{17}\text{FN}_3$ [$\text{M} + \text{H}$] $^+$: 318.1407, found: 318.1406.

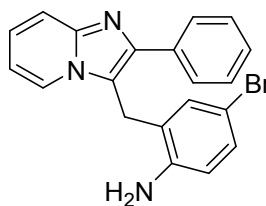
2-Chloro-5-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3af**)



Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3af**.

Yellow solid (75.0 mg, 75%), mp 218.2-219.0 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.74 – 7.70 (m, 3H), 7.69 (d, $J = 6.8$ Hz, 1H), 7.43 (t, $J = 7.5$ Hz, 2H), 7.36 (t, $J = 7.3$ Hz, 1H), 7.23 (d, $J = 8.8$ Hz, 1H), 7.05 (dd, $J = 8.4, 2.4$ Hz, 1H), 6.78 (t, $J = 6.8$ Hz, 1H), 6.72 – 6.62 (m, 2H), 4.18 (s, 2H), 3.87 (d, $J = 120.4$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.2, 144.4, 143.2, 134.0, 129.0, 128.4, 128.2, 128.0, 127.6, 125.0, 124.0, 123.6, 122.4, 117.6, 117.2, 115.8, 112.8, 26.0. HR-ESI-MS (m/z): calcd for $\text{C}_{20}\text{H}_{17}\text{ClN}_3$ [$\text{M} + \text{H}$] $^+$: 334.1111, found: 334.1112.

2-Bromo-5-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3ag**)

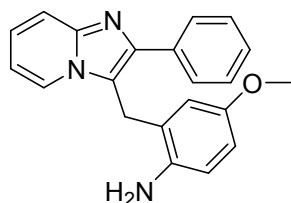


Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford

3ag. Yellow solid (86.0 mg, 76%), mp 229.9-230.8 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.70 (t, $J = 5.5$ Hz, 3H), 7.67 (d, $J = 6.8$ Hz, 1H), 7.42 (t, $J = 7.5$ Hz, 2H), 7.35 (t, $J = 7.3$ Hz, 1H), 7.24 – 7.15 (m, 2H), 6.81 – 6.71 (m, 2H), 6.62 (d, $J = 8.4$ Hz, 1H), 4.15 (s, 2H), 3.71 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.2, 144.4, 143.8, 134.0, 131.0, 130.4,

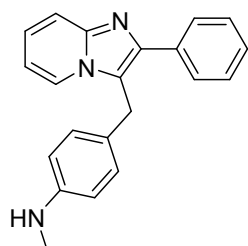
128.8, 128.4, 128.0, 124.8, 123.6, 122.8, 117.6, 115.8, 112.8, 111.0, 25.8. HR-ESI-MS (m/z): calcd for $C_{20}H_{17}BrN_3$ [$M + H$] $^+$: 378.0606, found: 378.0607.

2-Methoxy-5-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**3ah**)



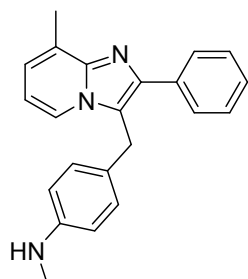
Flash column chromatography on silica gel (eluent: PE/EA = 1/1, v/v) to afford **3ah**. Yellow liquid (63.2 mg, 64%). δ 7.77 – 7.69 (m, 4H), 7.42 (t, $J = 7.5$ Hz, 2H), 7.34 (t, $J = 7.4$ Hz, 1H), 7.24 – 7.17 (m, 1H), 6.77 – 6.65 (m, 3H), 6.27 (d, $J = 2.7$ Hz, 1H), 4.22 (s, 2H), 3.55 (s, 3H), 3.35 (d, $J = 21.0$ Hz, 2H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 153.4, 144.8, 143.8, 138.0, 134.0, 128.8, 128.4, 128.0, 125.0, 123.8, 122.6, 117.4, 116.8, 114.2, 112.8, 112.8, 55.8, 26.0. HR-ESI-MS (m/z): calcd for $C_{21}H_{20}N_3O$ [$M + H$] $^+$: 330.1606, found: 330.1605.

N-Methyl-4-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**4a**)



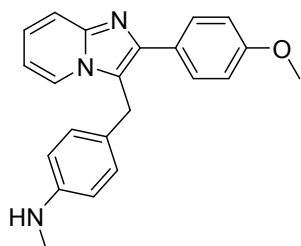
Preparative TLC on silica gel (eluent: PE/EA = 2/1, v/v) to afford **4a**. Yellow solid (45.1 mg, 48%), mp 168.9-169.5 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, $J = 7.0$ Hz, 2H), 7.74 (d, $J = 6.9$ Hz, 1H), 7.69 (d, $J = 9.0$ Hz, 1H), 7.43 (t, $J = 7.6$ Hz, 2H), 7.35 (t, $J = 7.4$ Hz, 1H), 7.20 – 7.15 (m, 1H), 6.96 (d, $J = 8.4$ Hz, 2H), 6.71 (t, $J = 6.8$ Hz, 1H), 6.56 (d, $J = 8.3$ Hz, 2H), 4.39 (s, 2H), 2.81 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 148.4, 129.8, 128.8, 128.6, 128.4, 127.8, 124.6, 123.8, 118.6, 117.4, 115.4, 113.0, 112.4, 31.0, 29.0. HR-ESI-MS (m/z): calcd for $C_{21}H_{20}N_3$ [$M + H$] $^+$: 314.1657, found: 314.1658.

N-Methyl-4-((8-methyl-2-phenyl-2*H*-4*H*-imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**4b**)



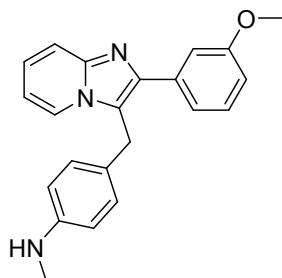
Preparative TLC on silica gel (eluent: PE/EA = 2/1, v/v) to afford **4b**. Yellow solid (47.1 mg, 48%), mp 179.9-180.6 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.81 (d, $J = 7.6$ Hz, 2H), 7.62 (d, $J = 6.8$ Hz, 1H), 7.43 (t, $J = 7.5$ Hz, 2H), 7.34 (t, $J = 7.3$ Hz, 1H), 6.96 (d, $J = 7.8$ Hz, 3H), 6.62 (t, $J = 6.8$ Hz, 1H), 6.55 (d, $J = 7.0$ Hz, 2H), 4.36 (s, 2H), 2.80 (s, 3H), 2.70 (s, 3H). ^{13}C NMR (100 MHz, $CDCl_3$) δ 148.2, 145.2, 143.4, 134.8, 128.6, 128.6, 128.6, 127.6, 127.4, 125.2, 123.2, 121.6, 119.0, 113.0, 112.2, 31.0, 29.2, 17.4. HR-ESI-MS (m/z): calcd for $C_{22}H_{22}N_3$ [$M + H$] $^+$: 328.1814, found: 328.1819.

4-((2-(4-Methoxyphenyl)-2H-414-imidazo[1,2-a]pyridin-3-yl)methyl)-N-methylaniline (4c)



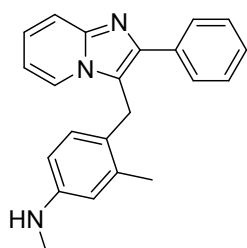
Preparative TLC on silica gel (eluent: PE/EA= 2/1, v/v) to afford **4c**. Yellow solid (42.2 mg, 41%), mp 138.1-138.7 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.73 (dd, *J* = 7.6, 5.3 Hz, 3H), 7.67 (d, *J* = 9.1 Hz, 1H), 7.19 – 7.14 (m, 1H), 6.96 (dd, *J* = 8.4, 5.1 Hz, 4H), 6.69 (t, *J* = 6.8 Hz, 1H), 6.55 (d, *J* = 8.4 Hz, 2H), 4.36 (s, 2H), 3.83 (s, 3H), 2.80 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 159.4, 148.4, 144.6, 143.4, 129.4, 128.6, 127.2, 125.0, 124.2, 123.6, 118.0, 117.2, 114.2, 113.0, 112.2, 55.4, 31.0, 29.0. HR-ESI-MS (m/z): calcd for C₂₂H₂₂N₃O [M + H]⁺: 344.1763, found: 344.1767.

4-((2-(3-Methoxyphenyl)-2H-414-imidazo[1,2-a]pyridin-3-yl)methyl)-N-methylaniline (4d)



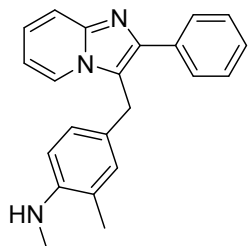
Preparative TLC on silica gel (eluent: PE/EA= 2/1, v/v) to afford **4d**. Yellow solid (42.2 mg, 41%), mp 136.2-127.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.75 (d, *J* = 6.8 Hz, 1H), 7.68 (d, *J* = 9.0 Hz, 1H), 7.40 (s, 1H), 7.34 (dd, *J* = 12.0, 7.6 Hz, 2H), 7.20 – 7.15 (m, 1H), 6.95 (d, *J* = 8.1 Hz, 2H), 6.90 (d, *J* = 7.9 Hz, 1H), 6.71 (t, *J* = 6.8 Hz, 1H), 6.55 (d, *J* = 8.2 Hz, 2H), 4.40 (s, 2H), 3.82 (s, 3H), 2.81 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 160.0, 148.4, 144.6, 135.8, 129.6, 128.6, 124.8, 124.4, 123.8, 120.6, 118.8, 117.4, 114.2, 113.2, 113.0, 112.4, 55.4, 31.0, 29.2. HR-ESI-MS (m/z): calcd for C₂₂H₂₂N₃O [M + H]⁺: 344.1763, found: 344.1767.

N,3-Dimethyl-4-((2-phenyl-2H-414-imidazo[1,2-a]pyridin-3-yl)methyl)aniline (4e)



Preparative TLC on silica gel (eluent: PE/EA= 2/1, v/v) to afford **4e**. Yellow solid (48.1 mg, 49%), mp 160.1-160.9°C. ¹H NMR (400 MHz, CDCl₃) δ 7.74 (t, *J* = 7.7 Hz, 3H), 7.67 (d, *J* = 6.8 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.34 (t, *J* = 7.3 Hz, 1H), 7.23 – 7.17 (m, 1H), 6.73 (t, *J* = 6.8 Hz, 1H), 6.56 (d, *J* = 2.5 Hz, 1H), 6.49 (d, *J* = 8.2 Hz, 1H), 6.29 (dd, *J* = 8.3, 2.5 Hz, 1H), 4.27 (s, 2H), 2.81 (s, 3H), 2.37 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 148.4, 144.8, 137.4, 134.4, 128.8, 128.2, 127.8, 127.8, 124.4, 123.8, 122.8, 118.4, 117.4, 115.0, 112.4, 110.4, 31.0, 27.0, 20.2. HR-ESI-MS (m/z): calcd for C₂₂H₂₂N₃ [M + H]⁺: 328.1814, found: 328.1821.

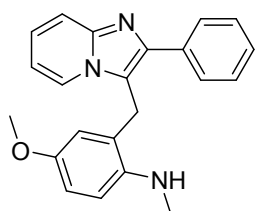
N,2-Dimethyl-4-((2-phenyl-2H-414-imidazo[1,2-a]pyridin-3-yl)methyl)aniline (4f)



Preparative TLC on silica gel (eluent: PE/EA= 2/1, v/v) to afford **4f**. Brown solid (44.2 mg, 45%), mp 158.2-159.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.83 (d, *J* = 7.4 Hz, 2H), 7.75 (d, *J* = 6.9 Hz, 1H), 7.70 (d, *J* = 9.0 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.38 – 7.32 (m, 1H), 7.21 – 7.15 (m, 1H), 6.91 (d, *J* = 8.3 Hz, 1H), 6.86 (s, 1H), 6.70 (t, *J* = 6.8 Hz, 1H), 6.54 (d, *J* = 8.2 Hz, 1H), 4.39 (s, 2H), 2.86 (d, *J* = 2.4 Hz, 3H),

2.07 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 146.4, 144.6, 134.2, 129.4, 128.8, 128.4, 127.8, 126.4, 124.6, 124.2, 123.8, 122.8, 118.8, 117.4, 112.4, 109.6, 31.0, 29.2, 17.6. HR-ESI-MS (*m/z*): calcd for C₂₂H₂₂N₃ [M + H]⁺: 328.1814, found: 328.1819.

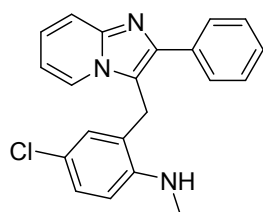
4-Methoxy-*N*-methyl-2-((2-phenyl-2*H*-414-imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**4g**)



Preparative TLC on silica gel (eluent: PE/EA= 2/1, v/v) to afford **4g**. Brown solid (41.2 mg, 40%), mp 149.9-150.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.73 (d, *J* = 6.8 Hz, 2H), 7.72 – 7.66 (m, 2H), 7.41 (dd, *J* = 8.3, 6.8 Hz, 2H), 7.37 – 7.31 (m, 1H), 7.22 – 7.16 (m, 1H), 6.79 (dd, *J* = 8.7, 2.9 Hz, 1H), 6.72 (t, *J* = 6.8 Hz, 1H), 6.67 (d,

J = 8.7 Hz, 1H), 6.31 (d, *J* = 2.9 Hz, 1H), 4.15 (s, 2H), 3.58 (s, 3H), 2.86 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 152.2, 144.6, 143.6, 141.4, 133.6, 128.8, 128.4, 128.2, 125.0, 123.8, 122.2, 117.2, 116.8, 114.8, 112.8, 112.2, 111.4, 55.8, 31.6, 25.8. HR-ESI-MS (*m/z*): calcd for C₂₂H₂₂N₃O [M + H]⁺: 344.1763, found: 344.1767.

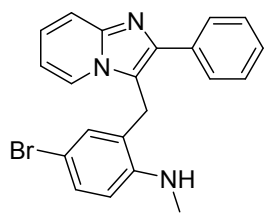
4-Chloro-*N*-methyl-2-((2-phenyl-2*H*-414-imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**4h**)



Preparative TLC on silica gel (eluent: PE/EA= 2/1, v/v) to afford **4h**. Yellow solid (50.0 mg, 48%), mp 194.1-194.8 °C. ¹H NMR (400 MHz, CDCl₃) ¹H NMR (400 MHz, Chloroform-*d*) δ 7.74 (d, *J* = 8.9 Hz, 1H), 7.72 – 7.67 (m, 3H), 7.43 (t, *J* = 7.4 Hz, 2H), 7.36 (t, *J* = 7.3 Hz, 1H), 7.26 – 7.19 (m, 1H), 7.16 (dd, *J* = 8.6, 2.5 Hz,

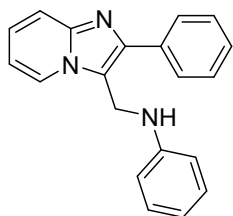
1H), 6.78 (t, *J* = 6.8 Hz, 1H), 6.67 – 6.57 (m, 2H), 4.12 (s, 2H), 3.69 (s, 1H), 2.84 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 145.8, 145.0, 144.0, 133.6, 129.0, 128.4, 128.2, 128.2, 127.2, 125.2, 123.6, 122.2, 121.6, 117.6, 115.8, 113.0, 111.2, 31.0, 25.8. HR-ESI-MS (*m/z*): calcd for C₂₁H₁₉ClN₃ [M + H]⁺: 348.1268, found: 348.1270.

4-Bromo-*N*-methyl-2-((2-phenyl-2*H*-414-imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**4i**)



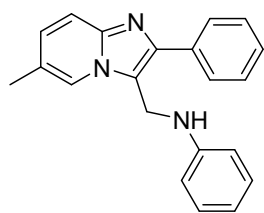
Preparative TLC on silica gel (eluent: PE/EA= 2/1, v/v) to afford **4i**. Yellow solid (54.0 mg, 46%), mp 135.0-135.5 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.73 – 7.67 (m, 4H), 7.44 (t, *J* = 7.4 Hz, 2H), 7.39 – 7.34 (m, 1H), 7.29 (dd, *J* = 8.6, 2.4 Hz, 1H), 7.23 (ddd, *J* = 9.0, 6.7, 1.3 Hz, 1H), 6.83 – 6.74 (m, 2H), 6.55 (d, *J* = 8.6 Hz, 1H), 4.12 (s, 2H), 3.68 (s, 1H), 2.82 (s, 3H). ¹³C NMR (101 MHz, CDCl₃) δ 146.2, 145.2, 144.6, 134.2, 131.0, 130.0, 129.0, 128.4, 128.2, 124.8, 123.6, 122.2, 117.8, 115.8, 112.8, 111.8, 109.4, 30.8, 26.0. HR-ESI-MS (*m/z*): calcd for C₂₁H₁₉BrN₃ [M + H]⁺: 392.0762, found: 392.0758.

***N*-((2-Phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5a)**



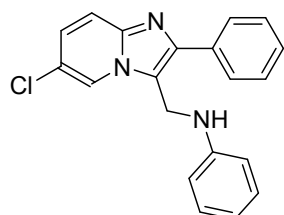
Flash column chromatography on silica gel (eluent: PE/EA= 2/1, v/v) to afford **5a**. Brown solid (77.2 mg, 86%), mp 145.1-146.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.11 (d, *J* = 6.6 Hz, 1H), 7.77 (d, *J* = 7.3 Hz, 2H), 7.68 (d, *J* = 9.1 Hz, 1H), 7.44 (t, *J* = 7.4 Hz, 2H), 7.36 (dd, *J* = 8.7, 5.9 Hz, 1H), 7.28 – 7.20 (m, 3H), 6.83 (t, *J* = 7.3 Hz, 2H), 6.76 (d, *J* = 7.9 Hz, 2H), 4.69 (s, 2H), 3.95 (s, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 147.6, 145.2, 144.6, 133.8, 129.6, 128.8, 128.4, 128.2, 125.2, 124.2, 118.4, 117.6, 116.6, 113.2, 112.8, 38.4. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₈N₃ [M + H]⁺: 300.1501, found: 300.1504.

6-Methyl-3-phenethyl-2-phenylimidazo[1,2-*a*]pyridine (5b)



Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5b**. Yellow solid (79.9 mg, 85%), mp 138.3-139.2 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.85 (s, 1H), 7.75 (d, *J* = 6.4 Hz, 2H), 7.57 (d, *J* = 9.2 Hz, 1H), 7.44 – 7.39 (m, 2H), 7.35 (d, *J* = 7.3 Hz, 1H), 7.29 (d, *J* = 8.4 Hz, 2H), 7.08 (d, *J* = 9.2 Hz, 1H), 6.84 (t, *J* = 7.4 Hz, 1H), 6.79 (d, *J* = 7.9 Hz, 2H), 4.63 (s, 2H), 3.96 (s, 1H), 2.31 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 147.8, 144.4, 144.2, 134.0, 129.6, 128.8, 128.4, 128.0, 122.4, 121.8, 118.4, 116.8, 116.2, 113.2, 38.4, 18.4. HR-ESI-MS (*m/z*): calcd for C₂₁H₂₀N₃ [M + H]⁺: 314.1657, found: 314.1654.

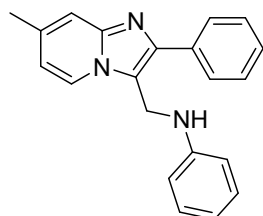
***N*-((6-chloro-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5c)**



Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5c**. Yellow solid (87.9 mg, 88%), mp 164.8-165.2 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.10 (s, 1H), 7.68 (d, *J* = 7.5 Hz, 2H), 7.55 (d, *J* = 9.5 Hz, 1H), 7.36 (dt, *J* = 13.4, 7.1 Hz, 3H), 7.27 – 7.22 (m, 2H), 7.15 (d, *J* = 9.4 Hz, 1H), 6.83 (t, *J* = 7.4

Hz, 1H), 6.77 (d, $J = 7.9$ Hz, 2H), 4.61 (s, 2H), 4.03 (s, 1H). ^{13}C NMR (100 MHz, CDCl_3) δ 147.6, 145.4, 143.4, 133.4, 129.6, 129.4, 129.0, 128.4, 128.4, 126.6, 122.2, 121.0, 118.8, 117.8, 117.2, 113.4, 112.8, 38.4. HR-ESI-MS (m/z): calcd for $\text{C}_{20}\text{H}_{17}\text{ClN}_3$ [$\text{M} + \text{H}$] $^+$: 334.1111, found: 334.1107.

***N*-((7-Methyl-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5d)**

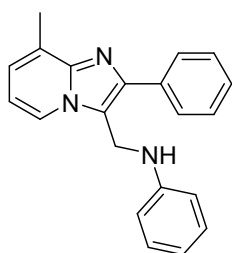


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5d**.

Yellow solid (78.9 mg, 84%), mp 139.8-140.2 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.85 (d, $J = 6.9$ Hz, 1H), 7.69 (d, $J = 7.5$ Hz, 2H), 7.37 – 7.31 (m, 3H), 7.29 (d, $J = 7.6$ Hz, 1H), 7.23 (t, $J = 7.7$ Hz, 2H), 6.78 (t, $J = 7.3$ Hz, 1H), 6.73 (d, $J = 8.0$ Hz,

2H), 6.52 (s, 1H), 4.53 (s, 2H), 4.08 (s, 1H), 2.35 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 147.8, 145.4, 144.0, 136.0, 134.0, 129.4, 128.6, 128.2, 128.0, 123.2, 118.2, 115.8, 115.8, 115.0, 113.0, 38.2, 21.4. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 314.1657, found: 314.1655.

***N*-((8-Methyl-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5e)**

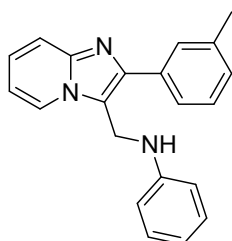


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5e**.

Yellow solid (71.4 mg, 76%), mp 111.0-111.4 °C. ^1H NMR (400 MHz, CDCl_3) δ 7.98 (d, $J = 6.9$ Hz, 1H), 7.78 (d, $J = 7.0$ Hz, 2H), 7.44 (t, $J = 7.4$ Hz, 3H), 7.37 (d, $J = 7.2$ Hz, 2H), 7.28 (s, 2H), 7.04 (d, $J = 6.9$ Hz, 1H), 6.82 (t, $J = 7.4$ Hz, 1H), 6.75 (t, $J = 6.6$ Hz, 3H), 4.67 (s, 2H), 3.83 (s, 1H), 2.69 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ

147.8, 145.6, 144.2, 134.0, 129.6, 128.8, 128.6, 128.0, 127.6, 124.0, 122.0, 118.4, 117.0, 113.2, 112.8, 38.4, 17.4. HR-ESI-MS (m/z): calcd for $\text{C}_{21}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 314.1657, found: 314.1655.

***N*-((2-(*M*-olyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5f)**



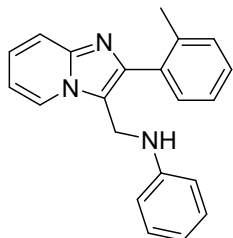
Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5f**.

Yellow solid (78.9 mg, 84%), mp 100.5-101.0 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 7.0$ Hz, 1H), 7.62 (d, $J = 6.1$ Hz, 2H), 7.46 (d, $J = 7.7$ Hz, 1H), 7.23 (t, $J = 7.9$ Hz, 3H), 7.19 – 7.13 (m, 2H), 6.82 – 6.74 (m, 2H), 6.72 (d, $J = 7.5$ Hz, 2H), 4.63 (s, 2H), 3.88 (s, 1H), 2.35 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 147.6, 145.2, 144.8,

138.6, 133.8, 129.6, 129.2, 129.0, 128.6, 125.4, 125.0, 124.2, 118.4, 117.4, 116.6, 113.2, 112.6, 38.4, 21.6.

HR-ESI-MS (m/z): calcd for C₂₁H₂₀N₃ [M + H]⁺: 314.1657, found: 314.1657.

***N*-((2-(*O*-tolyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5g)**

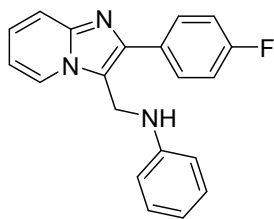


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5g**.

Yellow solid (77.0 mg, 82%), mp 107.1-107.4 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.20 (d, *J* = 6.8 Hz, 1H), 7.66 (d, *J* = 9.0 Hz, 1H), 7.31 (dd, *J* = 9.6, 5.9 Hz, 3H), 7.25 – 7.20 (m, 2H), 7.18 (d, *J* = 7.7 Hz, 2H), 6.84 (t, *J* = 6.8 Hz, 1H), 6.77 (t, *J* = 7.4 Hz, 1H), 6.65 (d, *J* = 8.0 Hz, 2H), 4.51 (s, 2H), 3.75 (s, 1H), 2.36 (s, 3H). ¹³C NMR (100

MHz, CDCl₃) δ 147.6, 145.0, 144.8, 137.6, 133.2, 130.6, 130.6, 129.4, 128.4, 125.6, 124.8, 124.6, 118.4, 117.6, 117.6, 113.2, 112.4, 38.0, 20.4. HR-ESI-MS (m/z): calcd for C₂₁H₂₀N₃ [M + H]⁺: 314.1657, found: 314.1656.

***N*-((2-(4-Fluorophenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5h)**

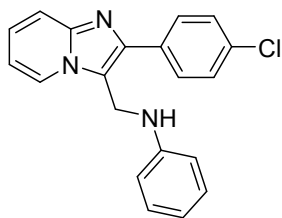


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5h**.

Yellow solid (77.1 mg, 81%), mp 158.6-159.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.12 (d, *J* = 6.9 Hz, 1H), 7.74 (dd, *J* = 8.5, 5.6 Hz, 2H), 7.67 (d, *J* = 9.0 Hz, 1H), 7.28 (d, *J* = 7.6 Hz, 4H), 7.11 (t, *J* = 8.6 Hz, 2H), 6.85 (q, *J* = 7.8 Hz, 2H), 6.77 (d,

J = 8.6 Hz, 2H), 4.65 (s, 2H), 4.03 (m, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 163.0 (d, *J* = 246 Hz), 147.6, 144.8, 143.0, 130.2 (d, *J* = 8.0 Hz), 129.6, 129.4, 125.8, 124.4, 118.6, 117.2, 116.6, 116.0 (d, *J* = 21.0 Hz), 113.2, 113.2, 38.2. HR-ESI-MS (m/z): calcd for C₂₀H₁₇FN₃ [M + H]⁺: 318.1407, found: 318.1406.

***N*-((2-(4-Chlorophenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5i)**

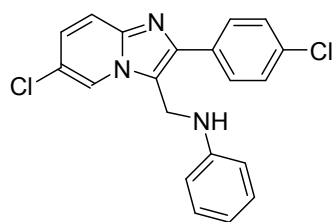


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5i**.

Brown solid (78.9 mg, 79%), mp 136.1-136.9 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.07 (d, *J* = 7.6 Hz, 1H), 7.70 (d, *J* = 8.5 Hz, 2H), 7.65 (d, *J* = 9.1 Hz, 1H), 7.38 (d, *J* = 8.5 Hz, 2H), 7.29 (d, *J* = 7.3 Hz, 3H), 6.83 (q, *J* = 7.0 Hz, 2H), 6.77 (d, *J* =

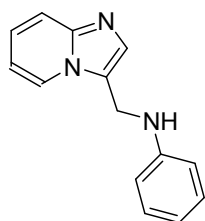
7.5 Hz, 2H), 4.64 (s, 2H), 3.95 (s, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 147.4, 145.0, 143.2, 134.0, 132.2, 129.6, 129.4, 129.0, 125.4, 124.0, 118.6, 117.4, 116.6, 113.2, 112.8, 38.2. HR-ESI-MS (m/z): calcd for C₂₀H₁₇ClN₃ [M + H]⁺: 334.1111, found: 334.1109.

***N*-((6-Chloro-2-(4-chlorophenyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5j)**



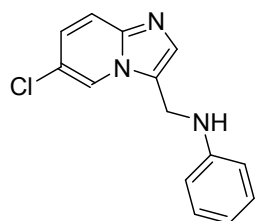
Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5j**. Yellow solid (89.2 mg, 81%), mp 188.2-188.8 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.09 (d, *J* = 2.4 Hz, 1H), 7.67 – 7.59 (m, 2H), 7.55 (d, *J* = 9.5 Hz, 1H), 7.35 (d, *J* = 8.2 Hz, 3H), 7.34 – 7.26 (m, 3H), 7.19 (dd, *J* = 9.6, 1.9 Hz, 1H), 6.87 (t, *J* = 7.4 Hz, 1H), 6.81 (d, *J* = 8.8 Hz, 2H), 4.59 (s, 2H), 4.14 (d, *J* = 10.8 Hz, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 147.4, 144.0, 143.2, 134.6, 131.4, 129.6, 129.4, 129.2, 127.0, 122.2, 121.4, 118.8, 117.6, 117.4, 113.4, 38.2. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₆Cl₂N₃ [*M* + H]⁺: 368.0721, found: 368.0728.

***N*-(Imidazo[1,2-*a*]pyridin-3-ylmethyl)aniline (5k)**



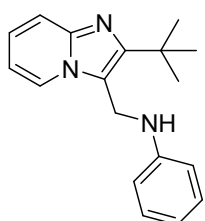
Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5k**. Yellow solid (60.9 mg, 91%), mp 99.8-100.5 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.16 (d, *J* = 6.8 Hz, 1H), 7.68 (d, *J* = 9.1 Hz, 1H), 7.62 (s, 1H), 7.26 – 7.19 (m, 3H), 6.83 (dt, *J* = 17.3, 7.1 Hz, 2H), 6.75 (d, *J* = 8.0 Hz, 2H), 4.60 (s, 2H), 3.81 (s, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 142.8, 127.4, 124.8, 120.2, 119.6, 116.4, 113.8, 113.0, 108.6, 108.0, 72.6, 72.6, 72.4, 72.0, 33.8. HR-ESI-MS (*m/z*): calcd for C₁₄H₁₄N₃ [*M* + H]⁺: 224.1188, found: 224.1188.

***N*-((6-Chloroimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5l)**



Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5l**. Yellow solid (66.3 mg, 86%), mp 138.4-138.9 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.23 (s, 1H), 7.62 (s, 1H), 7.58 (d, *J* = 9.6 Hz, 1H), 7.29 (s, 2H), 7.29 (d, *J* = 8.4 Hz, 2H), 7.19 (dd, *J* = 9.6, 2.0 Hz, 1H), 6.86 (t, *J* = 7.4 Hz, 1H), 6.80 (d, *J* = 8.3 Hz, 2H), 4.59 (s, 2H), 3.99 (s, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 147.6, 144.6, 133.8, 129.6, 125.8, 122.4, 121.8, 120.8, 118.8, 118.2, 113.6, 38.6. HR-ESI-MS (*m/z*): calcd for C₁₄H₁₃ClN₃ [*M* + H]⁺: 258.0798, found: 258.0800.

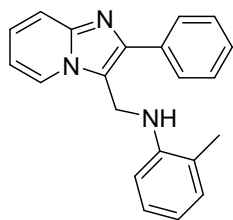
***N*-((2-(Tert-butyl)imidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (5m)**



Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5m**. Yellow solid (64.5 mg, 77%), mp 122.9-123.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.98 (d, *J* = 6.9 Hz, 1H), 7.63 (d, *J* = 9.0 Hz, 1H), 7.31 – 7.22 (m, 2H), 7.17 (d, *J* = 7.1 Hz, 1H), 6.81 (t, *J* = 7.4 Hz, 1H), 6.80 – 6.70 (m, 3H), 4.66 (s, 2H), 3.57 (s, 1H), 1.49 (s, 9H). ¹³C

NMR (100 MHz, CDCl₃) δ 153.6, 147.8, 143.6, 129.6, 124.2, 123.6, 118.6, 117.2, 115.0, 113.0, 112.2, 38.6, 33.4, 31.2. HR-ESI-MS (m/z): calcd for C₁₈H₂₂N₃ [M + H]⁺: 280.1814, found: 280.1813.

2-Methyl-N-((2-phenylimidazo[1,2-a]pyridin-3-yl)methyl)aniline (5n)



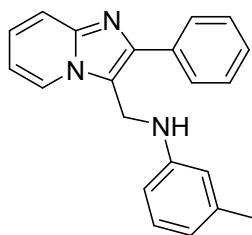
Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5n**.

Yellow solid (78.0 mg, 83%), mp 138.2-139.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.14 (d, *J* = 6.8 Hz, 1H), 7.86 – 7.79 (m, 2H), 7.72 (dd, *J* = 9.1, 1.2 Hz, 1H), 7.47 (t, *J* = 7.4 Hz, 2H), 7.44 – 7.34 (m, 1H), 7.24 (d, *J* = 7.8 Hz, 2H), 7.15 (d, *J* = 7.3 Hz, 1H), 6.89

– 6.77 (m, 3H), 4.74 (s, 2H), 3.62 (s, 1H), 2.12 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 145.6, 145.4, 144.8, 134.0, 130.4, 128.8, 128.4, 128.2, 127.4, 125.0, 124.0, 123.0, 118.2, 117.6, 116.6, 112.6, 110.2, 38.4, 17.8.

HR-ESI-MS (m/z): calcd for C₂₁H₂₀N₃ [M + H]⁺: 314.1657, found: 314.1656.

3-Methyl-N-((2-phenylimidazo[1,2-a]pyridin-3-yl)methyl)aniline (5o)

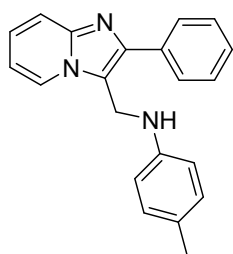


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5o**.

Yellow solid (79.9 mg, 85%), mp 138.2-139.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.06 (d, *J* = 6.9 Hz, 1H), 7.77 (d, *J* = 7.2 Hz, 2H), 7.65 (d, *J* = 9.1 Hz, 1H), 7.42 (t, *J* = 7.4 Hz, 2H), 7.35 (t, *J* = 7.3 Hz, 1H), 7.25 – 7.11 (m, 2H), 6.77 (t, *J* = 6.6 Hz, 1H),

6.66 (d, *J* = 7.5 Hz, 1H), 6.61 – 6.55 (m, 2H), 4.65 (s, 2H), 3.97 (s, 1H), 2.33 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 147.8, 145.2, 144.6, 139.4, 133.8, 129.4, 128.8, 128.4, 128.0, 125.0, 124.2, 119.2, 117.4, 116.6, 113.8, 112.4, 110.4, 38.2, 21.8. HR-ESI-MS (m/z): calcd for C₂₁H₂₀N₃ [M + H]⁺: 314.1657, found: 314.1659.

4-Methyl-N-((2-phenylimidazo[1,2-a]pyridin-3-yl)methyl)aniline (5p)

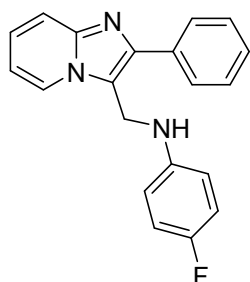


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5p**.

Yellow solid (83.6 mg, 89%), mp 133.8-134.3 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.33 (d, *J* = 6.9 Hz, 1H), 7.80 (d, *J* = 7.6 Hz, 2H), 7.63 (d, *J* = 9.0 Hz, 1H), 7.48 (t, *J* = 7.5 Hz, 2H), 7.38 (t, *J* = 7.3 Hz, 1H), 7.33 – 7.28 (m, 1H), 6.96 (t, *J* = 6.8 Hz, 1H), 6.89 (d, *J* = 7.9 Hz, 2H), 6.57 (d, *J* = 8.0 Hz, 2H), 5.92 (t, *J* = 5.0 Hz, 1H), 4.61 (d, *J* =

4.5 Hz, 2H), 2.15 (s, 3H). ¹³C NMR (101 MHz, DMSO-*d*₆) δ 146.2, 144.0, 143.2, 134.4, 129.4, 128.6, 128.0, 127.6, 125.2, 124.8, 124.8, 117.6, 116.8, 112.6, 112.2, 37.2, 20.2. HR-ESI-MS (m/z): calcd for C₂₁H₂₀N₃ [M + H]⁺: 314.1657, found: 314.1657.

4-Fluoro-*N*-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**5q**)

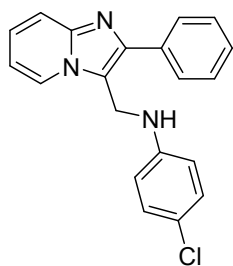


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5q**.

White solid (81.8 mg, 86%), mp 110.2-111.1 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.11 (d, *J* = 6.8 Hz, 1H), 7.76 (d, *J* = 7.1 Hz, 2H), 7.67 (d, *J* = 9.0 Hz, 1H), 7.44 (dd, *J* = 8.2, 6.6 Hz, 2H), 7.37 (t, *J* = 7.4 Hz, 1H), 7.23 (d, *J* = 7.5 Hz, 1H), 6.96 (t, *J* = 8.7 Hz, 2H), 6.84 (t, *J* = 6.8 Hz, 1H), 6.76 – 6.60 (m, 2H), 4.65 (s, 2H), 3.76 (s, 1H). ¹³C

NMR (100 MHz, CDCl₃) δ 156.4 (d, *J* = 230 Hz), 145.4, 144.8, 144.0, 134.0, 128.8, 128.6, 128.2, 125.2, 124.2, 117.6, 116.4, 116.0 (d, *J* = 22.4 Hz), 114.2 (d, *J* = 7.4 Hz), 112.8, 39.0. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₇FN₃ [M + H]⁺: 318.1407, found: 318.1407.

4-Chloro-*N*-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**5r**)

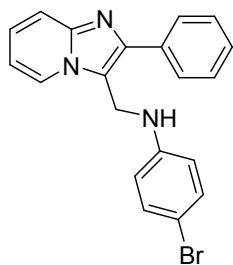


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5r**.

Yellow solid (90.9 mg, 91%), mp 191.8-192.3 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.37 (d, *J* = 7.0 Hz, 1H), 7.79 (d, *J* = 7.6 Hz, 2H), 7.66 (d, *J* = 9.0 Hz, 1H), 7.49 (t, *J* = 7.5 Hz, 2H), 7.38 (dt, *J* = 15.7, 7.8 Hz, 2H), 7.10 (d, *J* = 8.5 Hz, 2H), 7.01 (t, *J* = 6.8 Hz, 1H), 6.66 (d, *J* = 8.5 Hz, 2H), 6.35 (t, *J* = 4.7 Hz, 1H), 4.62 (d, *J* = 4.1 Hz, 2H).

¹³C NMR (101 MHz, DMSO-*d*₆) δ 147.4, 144.2, 143.4, 134.4, 128.8, 128.6, 128.2, 127.8, 125.2, 125.2, 119.8, 117.2, 116.8, 113.8, 112.4, 37.0. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₇ClN₃ [M + H]⁺: 334.1111, found: 334.1114.

4-Bromo-*N*-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**5s**)

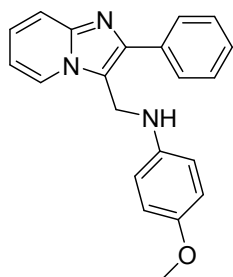


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5s**.

Yellow solid (102.9 mg, 91%), mp 165.8-166.3 °C. ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.42 (d, *J* = 6.8 Hz, 1H), 7.79 (d, *J* = 7.6 Hz, 2H), 7.70 (d, *J* = 9.1 Hz, 1H), 7.52 (d, *J* = 7.4 Hz, 2H), 7.42 (t, *J* = 7.3 Hz, 2H), 7.21 (d, *J* = 8.7 Hz, 2H), 7.07 (t, *J* = 6.7 Hz, 1H), 6.61 (d, *J* = 8.8 Hz, 2H), 6.40 (s, 1H), 4.63 (d, *J* = 3.9 Hz, 2H). ¹³C NMR (101

MHz, DMSO-*d*₆) δ 147.8, 144.2, 143.4, 134.4, 131.4, 128.6, 128.0, 127.8, 125.2, 125.0, 117.0, 116.8, 114.4, 112.2, 107.0, 37.0. HR-ESI-MS (*m/z*): calcd for C₂₀H₁₇BrN₃ [M + H]⁺: 378.0606, found: 378.0614.

4-Methoxy-*N*-((2-phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)aniline (**5t**)

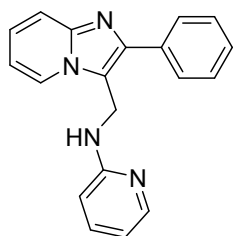


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5t**.

Brown solid (83.9 mg, 85%), mp 209.8-210.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.10 (d, *J* = 6.8 Hz, 1H), 7.75 (d, *J* = 7.3 Hz, 2H), 7.64 (d, *J* = 9.2 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 2H), 7.34 (t, *J* = 7.6 Hz, 1H), 7.23 – 7.17 (m, 1H), 6.84 (d, *J* = 8.7 Hz, 2H), 6.77 (d, *J* = 5.9 Hz, 1H), 6.70 (d, *J* = 8.9 Hz, 2H), 4.60 (s, 2H), 3.76 (s, 3H). ¹³C NMR (100

Hz, CDCl₃) δ 152.8, 145.0, 144.4, 141.8, 128.8, 128.4, 128.0, 125.0, 124.4, 118.8, 117.4, 116.8, 115.0, 114.6, 112.6, 55.8, 39.2. HR-ESI-MS (*m/z*): calcd for C₂₁H₂₀N₃O [M + H]⁺: 330.1606, found: 330.1607.

N-((2-Phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)pyridin-2-amine (**5u**)

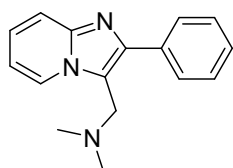


Flash column chromatography on silica gel (eluent: PE/EA = 2/1, v/v) to afford **5u**.

Brown solid (63.9 mg, 70%), mp 155.2-159.0 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.21 (d, *J* = 6.9 Hz, 1H), 8.14 (d, *J* = 5.2 Hz, 1H), 7.78 (d, *J* = 7.5 Hz, 2H), 7.65 (d, *J* = 9.0 Hz, 1H), 7.44 (q, *J* = 7.5 Hz, 3H), 7.37 (t, *J* = 7.3 Hz, 1H), 7.25 – 7.20 (m, 1H), 6.81 (t,

J = 6.8 Hz, 1H), 6.66 (t, *J* = 6.2 Hz, 1H), 6.44 (d, *J* = 8.4 Hz, 1H), 5.02 (d, *J* = 4.2 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 157.8, 147.2, 145.2, 144.6, 138.0, 134.0, 128.8, 128.6, 128.2, 125.2, 124.6, 117.6, 117.0, 113.6, 112.6, 108.6, 35.6. HR-ESI-MS (*m/z*): calcd for C₁₉H₁₇N₄ [M + H]⁺: 301.1453, found: 301.1457.

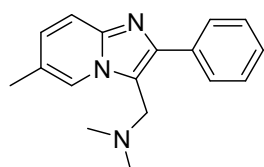
N,N-Dimethyl-1-(2-phenylimidazo[1,2-*a*]pyridin-3-yl)methanamine (**7a**)



Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **7a**. Yellow liquid (36.2 mg, 48%). ¹H NMR (400 MHz, CDCl₃) δ 8.40 (d, *J* = 5.7 Hz, 1H), 7.80 (d, *J* = 7.5 Hz, 2H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.43 (m, 2H), 7.37 (d, *J* = 7.9 Hz, 1H), 7.25 –

7.16 (m, 1H), 6.81 (t, *J* = 6.8 Hz, 1H), 3.89 (s, 2H), 2.25 (s, 6H). ¹³C NMR (100 MHz, CDCl₃) δ 145.0, 145.0, 134.8, 129.0, 128.6, 127.8, 125.4, 124.6, 117.4, 117.4, 112.0, 53.0, 45.0. HR-ESI-MS (*m/z*): calcd for C₁₆H₁₈N₃ [M + H]⁺: 252.1501, found: 252.109.

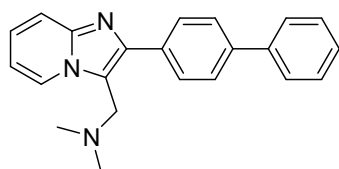
N,N-Dimethyl-1-(6-methyl-2-phenylimidazo[1,2-*a*]pyridin-3-yl)methanamine (**7b**)



Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **7b**. Yellow solid (37.4 mg, 47%), mp 100.6-101.3 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.15 (s, 1H), 7.79 (d, *J* = 7.8 Hz, 2H), 7.56 (d, *J* = 9.1 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 2H), 7.39 – 7.33 (m, 1H),

7.08 (d, $J = 8.9$ Hz, 1H), 3.91 (s, 2H), 2.37 (s, 3H), 2.27 (s, 6H). ^{13}C NMR (100 MHz, CDCl_3) δ 144.8, 144.2, 134.8, 129.0, 128.6, 128.0, 127.8, 123.0, 121.8, 116.6, 52.8, 45.0, 18.6. HR-ESI-MS (m/z): calcd for $\text{C}_{17}\text{H}_{20}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 266.1657, found: 266.1656.

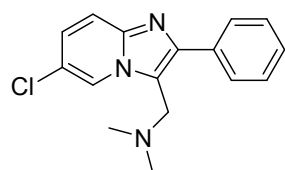
1-(2-([1,1'-Biphenyl]-4-yl)imidazo[1,2-*a*]pyridin-3-yl)-*N,N*-dimethylmethanamine (7c)



Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **7c**. Yellow solid (44.2 mg, 45%), mp 61.4-62.3 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.42 (d, $J = 6.9$ Hz, 1H), 7.91 (d, $J = 8.7$ Hz, 2H), 7.71 (d, $J = 8.7$ Hz, 2H), 7.67 (dd, $J = 8.5$,

4.6 Hz, 3H), 7.46 (t, $J = 7.3$ Hz, 2H), 7.36 (t, $J = 7.6$ Hz, 1H), 7.25 – 7.20 (m, 1H), 6.84 (t, $J = 6.8$ Hz, 1H), 3.95 (s, 2H), 2.29 (s, 6H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.2, 144.6, 140.8, 140.4, 133.6, 129.4, 129.0, 127.4, 127.2, 127.2, 125.4, 124.8, 117.4, 112.2, 53.0, 45.0. HR-ESI-MS (m/z): calcd for $\text{C}_{22}\text{H}_{22}\text{N}_3$ [$\text{M} + \text{H}$] $^+$: 328.1814, found: 328.1811.

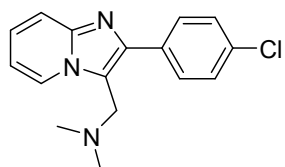
1-(6-Chloro-2-phenylimidazo[1,2-*a*]pyridin-3-yl)-*N,N*-dimethylmethanamine (7d)



Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **7d**. Yellow solid (39.3mg, 46%), mp 101.6-102.4 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.50 (s, 1H), 7.76 (d, $J = 7.6$ Hz, 2H), 7.57 (d, $J = 9.5$ Hz, 1H), 7.49 – 7.42 (m, 2H), 7.39 (d, $J = 7.9$ Hz,

1H), 7.18 (d, $J = 9.5$ Hz, 1H), 3.89 (s, 2H), 2.26 (s, 6H). ^{13}C NMR (100 MHz, CDCl_3) δ 146.0, 143.4, 134.2, 129.0, 128.6, 128.0, 126.0, 123.6, 120.0, 117.8, 117.6, 53.0, 45.0. HR-ESI-MS (m/z): calcd for $\text{C}_{16}\text{H}_{17}\text{ClN}_3$ [$\text{M} + \text{H}$] $^+$: 286.1111, found: 286.1116.

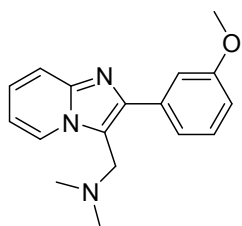
1-(2-(4-Chlorophenyl)imidazo[1,2-*a*]pyridin-3-yl)-*N,N*-dimethylmethanamine (7e)



Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **7e**. Yellow liquid (35.0 mg, 41%). ^1H NMR (400 MHz, CDCl_3) δ 7.79 (d, $J = 7.3$ Hz, 2H), 7.62 (d, $J = 7.0$ Hz, 1H), 7.43 (dd, $J = 14.0$, 6.7 Hz, 3H), 7.33 (t, $J = 7.4$ Hz, 1H), 6.94 – 6.90 (m, 2H),

6.63 – 6.60 (m, 2H), 6.56 (d, $J = 5.4$ Hz, 1H), 4.35 (s, 2H), 3.47 (s, 2H), 2.68 (q, $J = 7.6$ Hz, 2H), 1.27 (t, $J = 7.5$ Hz, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 145.04, 143.65, 133.66, 133.20, 130.14, 128.67, 125.34, 124.79, 117.57, 117.24, 112.07, 52.79, 45.03. HR-ESI-MS (m/z): calcd for $\text{C}_{16}\text{H}_{17}\text{ClN}_3$ [$\text{M} + \text{H}$] $^+$: 286.1111, found: 286.1113.

1-(2-(3-Methoxyphenyl)imidazo[1,2-*a*]pyridin-3-yl)-*N,N*-dimethylmethanamine (7f)

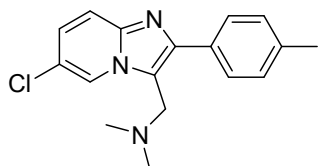


Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **7f**. Yellow liquid (38.8 mg, 46%). ¹H NMR (400 MHz, CDCl₃) δ 8.39 (d, *J* = 6.9 Hz, 1H), 7.63 (d, *J* = 9.0 Hz, 1H), 7.40 – 7.34 (m, 3H), 7.23 – 7.18 (m, 1H), 6.92 (dt, *J* = 5.9, 2.8 Hz, 1H), 6.82 (t, *J* = 6.8 Hz, 1H), 3.90 (s, 2H), 3.88 (s, 3H), 2.26 (s, 6H). ¹³C NMR (100 MHz, CDCl₃)

δ 159.8, 145.0, 144.8, 136.0, 129.6, 125.4, 124.8, 121.4, 117.4, 117.4, 114.2, 114.0, 112.0, 55.4, 52.8, 45.0.

HR-ESI-MS (*m/z*): calcd for C₁₇H₂₀N₃O [M + H]⁺: 282.1606, found: 282.1598.

1-(6-Chloro-2-(*p*-tolyl)imidazo[1,2-*a*]pyridin-3-yl)-*N,N*-dimethylmethanamine (7g)

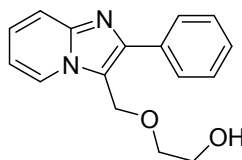


Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **7g**. Yellow liquid (35.9 mg, 40%). ¹H NMR (400 MHz, CDCl₃) δ 8.39 (d, *J* = 7.3 Hz, 1H), 7.70 – 7.59 (m, 3H), 7.28 (s, 2H), 6.80 (dd, *J* = 7.3, 2.1 Hz, 1H), 3.91 (s, 2H), 2.41 (s, 3H),

2.25 (s, 6H). ¹³C NMR (100 MHz, CDCl₃) δ 146.0, 144.8, 138.0, 131.4, 131.2, 129.4, 128.8, 126.0, 116.0,

113.6, 52.8, 44.8, 21.4. HR-ESI-MS (*m/z*): calcd for C₁₇H₁₉ClN₃ [M + H]⁺: 300.1268, found: 300.1266.

2-((2-Phenylimidazo[1,2-*a*]pyridin-3-yl)methoxy)ethan-1-ol (8a)

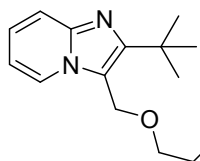


Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **8a**. Yellow solid (35.4 mg, 44%), mp 98.1-98.6 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.14 (d, *J* = 6.9 Hz, 1H), 7.70 (d, *J* = 7.6 Hz, 2H), 7.60 (d, *J* = 9.1 Hz, 1H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.34 (t, *J* = 7.3

Hz, 1H), 7.18 – 7.09 (m, 1H), 6.81 – 6.71 (m, 1H), 4.87 (d, *J* = 3.0 Hz, 2H), 3.74 (t, *J* = 4.6 Hz, 2H), 3.58 (t, *J* = 4.5 Hz, 2H), 3.32 (s, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 145.4, 145.2, 133.8, 128.8, 128.6, 128.2, 125.2,

124.4, 117.4, 116.8, 112.6, 71.4, 62.4, 61.6. HR-ESI-MS (*m/z*): calcd for C₁₆H₁₇N₂O₂ [M + H]⁺: 269.1290, found: 269.1290.

2-((2-(*Tert*-butyl)imidazo[1,2-*a*]pyridin-3-yl)methoxy)ethan-1-ol (8b)

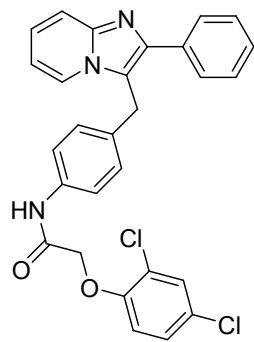


Preparative TLC (eluent: PE/EA = 1/2, v/v) to afford **8b**. Yellow liquid (30.5mg, 41%). ¹H NMR (400 MHz, CDCl₃) δ 8.11 (d, *J* = 6.8 Hz, 1H), 7.58 (d, *J* = 9.0 Hz, 1H), 7.18 – 7.11 (m, 1H), 6.77 (t, *J* = 6.8 Hz, 1H), 4.98 (s, 2H), 3.79 – 3.71 (m, 2H),

3.68 – 3.58 (m, 2H), 1.47 (s, 9H). ¹³C NMR (100 MHz, CDCl₃) δ 154.0, 143.8, 124.6, 124.0, 117.0, 115.2,

112.4, 71.2, 62.8, 62.0, 33.6, 31.4. HR-ESI-MS (m/z): calcd for C₁₄H₂₁N₂O₂ [M + H]⁺: 249.1603, found: 249.1609.

2-(2,4-Dichlorophenoxy)-N-(4-((2-phenylimidazo[1,2-a]pyridin-3-yl)methyl)phenyl)acetamide (10a)

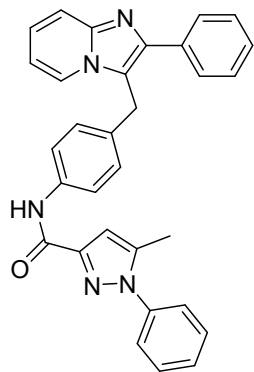


Flash column chromatography on silica gel (eluent: PE/EA = 1/2, v/v) to afford **10a**.

Brown solid (126.5 mg, 84%), mp 67.8-68.4 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.57 (s, 1H), 7.77 (d, *J* = 7.5 Hz, 2H), 7.71 – 7.68 (m, 2H), 7.54 (d, *J* = 8.2 Hz, 2H), 7.45 – 7.40 (m, 3H), 7.36 (d, *J* = 7.3 Hz, 1H), 7.24 – 7.21 (m, 1H), 7.18 (d, *J* = 8.4 Hz, 1H), 7.14 (d, *J* = 8.2 Hz, 2H), 6.88 (d, *J* = 8.7 Hz, 1H), 6.72 (t, *J* = 6.8 Hz, 1H), 4.61 (s, 2H), 4.48 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 165.2, 151.4, 145.0, 144.0,

135.8, 134.4, 133.4, 130.4, 128.8, 128.6, 128.4, 128.0, 128.0, 124.6, 124.0, 123.4, 120.8, 117.6, 115.0, 112.6, 68.6, 29.4. HR-ESI-MS (m/z): calcd for C₂₈H₂₂Cl₂N₃O₂ [M + H]⁺: 502.1089, found: 502.1089.

5-Methyl-1-phenyl-N-(4-((2-phenylimidazo[1,2-a]pyridin-3-yl)methyl)phenyl)-1H-pyrazole-3-carboxamide (10b)

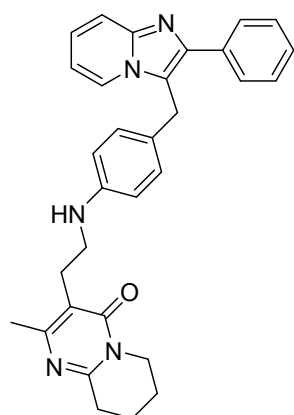


Flash column chromatography on silica gel (eluent: PE/EA = 1/2, v/v) to afford **10b**.

Yellow solid (124.7 mg, 86%), mp 165.8-166.6 °C. ¹H NMR (400 MHz, CDCl₃) δ 8.75 – 8.45 (m, 1H), 8.21 (d, *J* = 6.7 Hz, 1H), 7.71 (d, *J* = 7.6 Hz, 2H), 7.66 (dd, *J* = 8.3, 4.6 Hz, 2H), 7.51 – 7.46 (m, 2H), 7.46 – 7.35 (m, 7H), 7.31 (t, *J* = 7.2 Hz, 1H), 7.19 – 7.12 (m, 1H), 7.07 – 6.91 (m, 2H), 6.68 (t, *J* = 7.0 Hz, 1H), 4.41 (s, 2H), 2.60 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 162.4, 144.8, 143.8, 143.2, 138.8, 137.2, 134.2, 132.0, 129.4, 128.6, 128.2, 128.0, 128.0, 125.6, 124.6, 123.6, 121.2, 118.0,

117.2, 116.0, 112.4, 29.2, 12.2. HR-ESI-MS (m/z): calcd for C₃₁H₂₆N₅O [M + H]⁺: 484.2137, found: 484.2139.

2-Methyl-3-(2-((4-((2-phenylimidazo[1,2-a]pyridin-3-yl)methyl)phenyl)amino)ethyl)-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-4-one (10c)

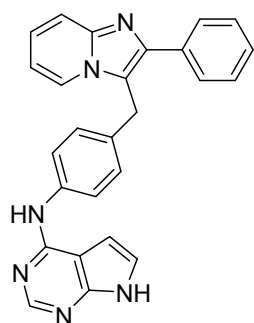


2-Methyl-3-(2-((4-((2-phenylimidazo[1,2-a]pyridin-3-yl)methyl)phenyl)amino)ethyl)-6,7,8,9-tetrahydro-4H-pyrido[1,2-a]pyrimidin-4-one (10c)

Flash column chromatography on silica gel (eluent: PE/EA = 1/2, v/v) to afford **10c**. Brown solid (104.2 mg, 71%), mp 71.4-71.9 °C. ¹H NMR (400 MHz, CDCl₃) δ ¹H NMR (400 MHz, Chloroform-*d*) δ 7.79 (d, *J* = 7.6 Hz, 2H), 7.71 (d,

$J = 6.9$ Hz, 1H), 7.66 (d, $J = 9.1$ Hz, 1H), 7.41 (t, $J = 7.4$ Hz, 2H), 7.32 (t, $J = 7.4$ Hz, 1H), 7.14 (t, $J = 7.9$ Hz, 1H), 6.91 (d, $J = 8.0$ Hz, 2H), 6.67 (t, $J = 6.8$ Hz, 1H), 6.54 (d, $J = 8.0$ Hz, 2H), 4.35 (s, 2H), 3.90 (t, $J = 6.1$ Hz, 2H), 3.26 (t, $J = 6.7$ Hz, 2H), 2.83 (t, $J = 6.7$ Hz, 4H), 2.24 (s, 3H), 1.93 (t, $J = 6.2$ Hz, 2H), 1.83 (t, $J = 6.3$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 163.4, 158.8, 156.2, 147.2, 144.8, 143.6, 134.6, 128.6, 128.6, 128.2, 127.6, 124.4, 124.2, 123.8, 119.0, 118.6, 117.4, 113.0, 112.2, 43.2, 43.0, 31.6, 29.0, 26.2, 22.0, 21.4, 19.2. HR-ESI-MS (m/z): calcd for $\text{C}_{31}\text{H}_{35}\text{N}_6\text{O}$ [$\text{M} + \text{NH}_4$] $^+$: 506.2920, found: 506.2920.

***N*-(4-((2-Phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)phenyl)-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amine (10d)**



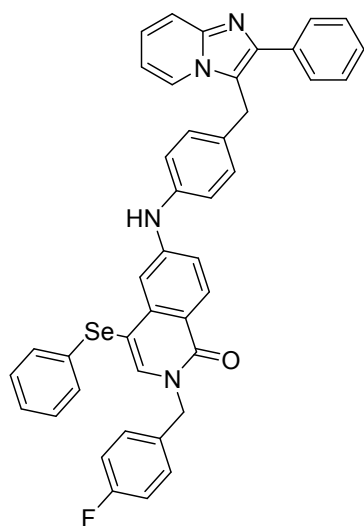
Flash column chromatography on silica gel (eluent: PE/EA = 1/2, v/v) to afford **10d**.

Yellow solid (81.2 mg, 65%), mp 107.1-107.7 °C. ^1H NMR (400 MHz, Methanol- d_4)

δ 8.16 (s, 1H), 8.02 (d, $J = 6.9$ Hz, 1H), 7.74 (d, $J = 7.6$ Hz, 2H), 7.61 (d, $J = 8.4$ Hz, 3H), 7.46 (t, $J = 7.5$ Hz, 2H), 7.39 (d, $J = 7.3$ Hz, 1H), 7.34 – 7.29 (m, 1H), 7.13 (d, $J = 3.6$ Hz, 1H), 7.09 (d, $J = 8.1$ Hz, 2H), 6.87 (t, $J = 6.8$ Hz, 1H), 6.63 (d, $J = 3.5$ Hz, 1H), 4.50 (s, 2H). ^{13}C NMR (101 MHz, Methanol- d_4) δ 155.8, 151.8, 151.4,

146.0, 144.2, 139.6, 135.2, 133.2, 129.8, 129.4, 129.0, 126.6, 125.4, 123.6, 123.2, 120.2, 117.2, 113.8, 105.2, 100.0, 29.6. HR-ESI-MS (m/z): calcd for $\text{C}_{26}\text{H}_{21}\text{N}_6$ [$\text{M} + \text{H}$] $^+$: 417.1828, found: 417.1829.

***N*-(4-((2-Phenylimidazo[1,2-*a*]pyridin-3-yl)methyl)phenyl)-7*H*-pyrrolo[2,3-*d*]pyrimidin-4-amine (10e)**

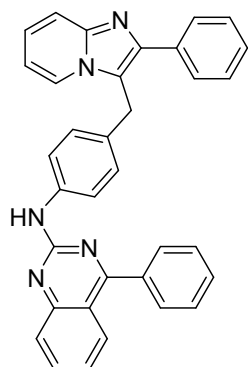


Flash column chromatography on silica gel (eluent: PE/EA = 1/2, v/v) to afford **10e**. Yellow solid (135.5 mg, 64%), mp 208.2-208.7 °C. ^1H NMR

(400 MHz, CDCl_3) δ 8.27 (d, $J = 8.7$ Hz, 1H), 7.80 (d, $J = 7.7$ Hz, 2H), 7.72 (d, $J = 8.0$ Hz, 2H), 7.62 (s, 1H), 7.44 (t, $J = 7.6$ Hz, 2H), 7.38 – 7.30 (m, 4H), 7.24 – 7.19 (m, 1H), 7.05 (d, $J = 8.1$ Hz, 2H), 7.03 – 6.97 (m, 6H), 6.87 (d, $J = 8.1$ Hz, 2H), 6.82 – 6.72 (m, 3H), 6.52 (d, $J = 4.9$ Hz, 1H), 5.14 (s, 2H), 4.42 (s, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 163.8, 161.8, 161.2, 147.8, 144.8, 143.8, 140.0, 139.6, 139.4, 134.4, 132.6(d, $J = 3.3$ Hz), 132.0, 130.8, 130.4, 129.8(d, $J = 8.2$ Hz), 129.4, 129.2, 128.8,

128.6, 128.2, 128.0, 126.4, 124.6, 123.6, 120.4, 119.0, 118.0, 117.6, 117.2, 115.8 (d, $J = 21.6$ Hz), 112.4, 110.2, 104.2, 51.0, 29.2. HR-ESI-MS (m/z): calcd for $\text{C}_{42}\text{H}_{32}\text{FN}_4\text{OSe}$ [$\text{M} + \text{H}$] $^+$: 707.1725, found: 707.1733.

4-Phenyl-N-(4-((2-phenylimidazo[1,2-a]pyridin-3-yl)methyl)phenyl)quinazolin-2-amine (10f)

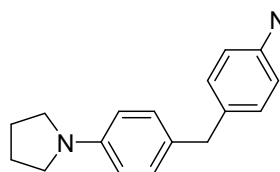


Flash column chromatography on silica gel (eluent: PE/EA = 1/2, v/v) to afford **10f**.

Yellow solid (98.1 mg, 65%), mp 107.9-108.4 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.86 (dd, *J* = 11.8, 8.0 Hz, 3H), 7.78 (d, *J* = 8.3 Hz, 3H), 7.74 – 7.67 (m, 6H), 7.53 (s, 3H), 7.44 (t, *J* = 7.5 Hz, 2H), 7.36 (d, *J* = 7.3 Hz, 1H), 7.23 (d, *J* = 7.6 Hz, 1H), 7.14 (dd, *J* = 17.6, 8.2 Hz, 3H), 6.68 (t, *J* = 6.3 Hz, 1H), 4.45 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 170.0, 156.2, 152.6, 144.8, 144.0, 138.8, 137.0, 134.6, 134.0, 130.2, 130.0, 129.6, 128.6, 128.6, 128.2, 128.2, 127.8, 127.4, 126.8, 124.2, 123.6, 123.6, 119.4,

119.0, 118.0, 117.4, 112.2, 29.4. HR-ESI-MS (*m/z*): calcd for C₃₄H₂₉N₆ [M + NH₄]⁺: 520.2501, found: 520.2509.

4-(4-(Pyrrolidin-1-yl)benzyl)aniline (12f)

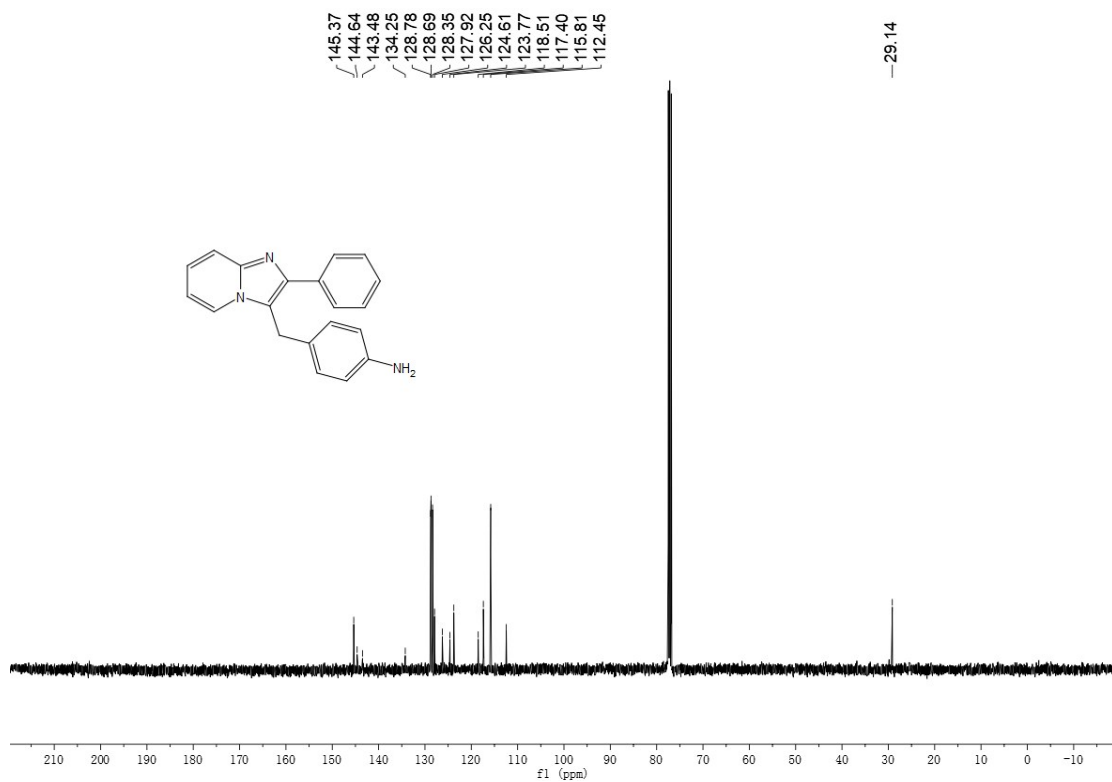
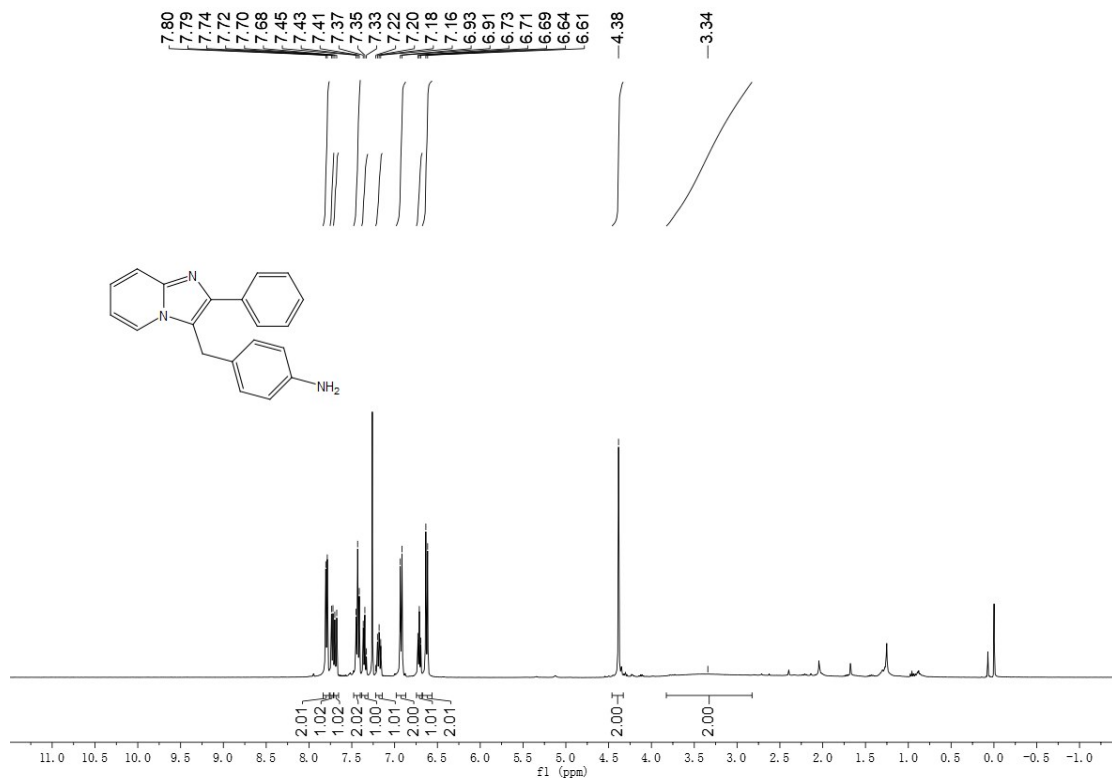


The synthesis method is the same as **4a**. Flash column chromatography on silica gel (eluent: PE/EA = 3/1, v/v) to afford **12f**. Yellow solid (57.5 mg, 76%), mp 145.2-145.8 °C. ¹H NMR (400 MHz, CDCl₃) δ 7.05 (d, *J* = 8.2 Hz, 2H), 6.98 (d, *J* = 8.0 Hz, 2H), 6.62 (d, *J* = 8.2 Hz, 2H), 6.52 (d, *J* = 8.4 Hz, 2H), 3.80 (s, 2H), 3.30 – 3.23 (m, 4H), 2.02 – 1.94 (m, 4H). ¹³C NMR (100 MHz, CDCl₃) δ 146.4, 144.2, 132.6,

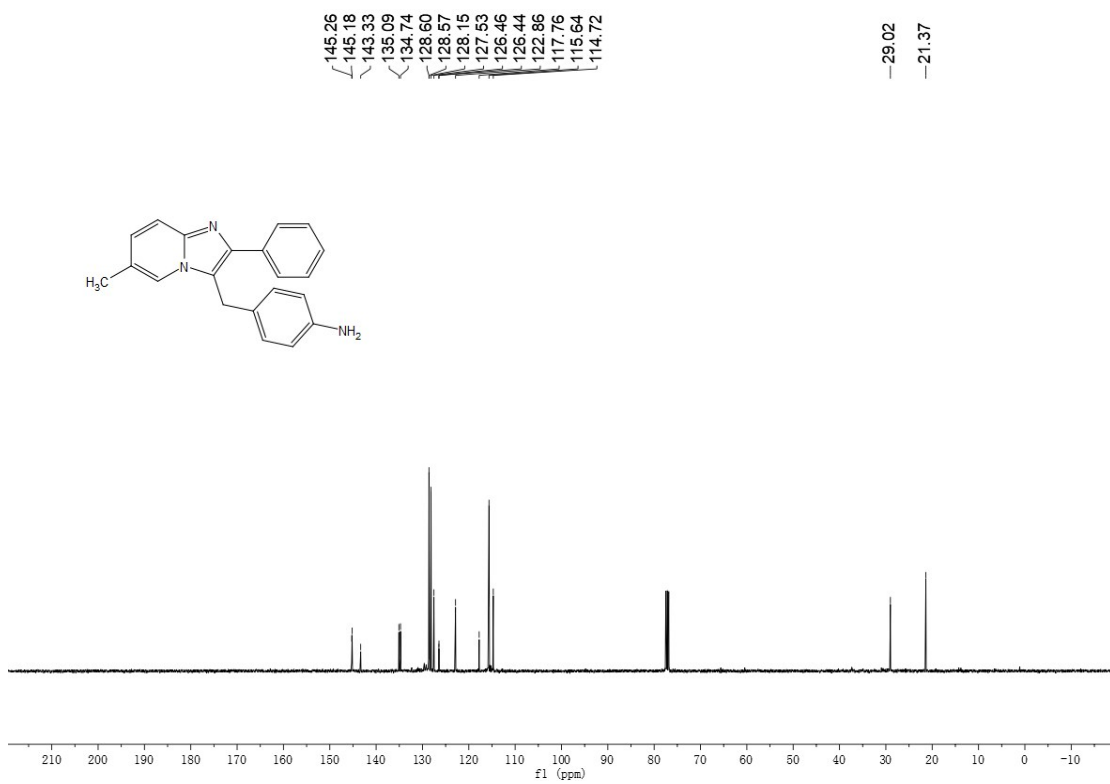
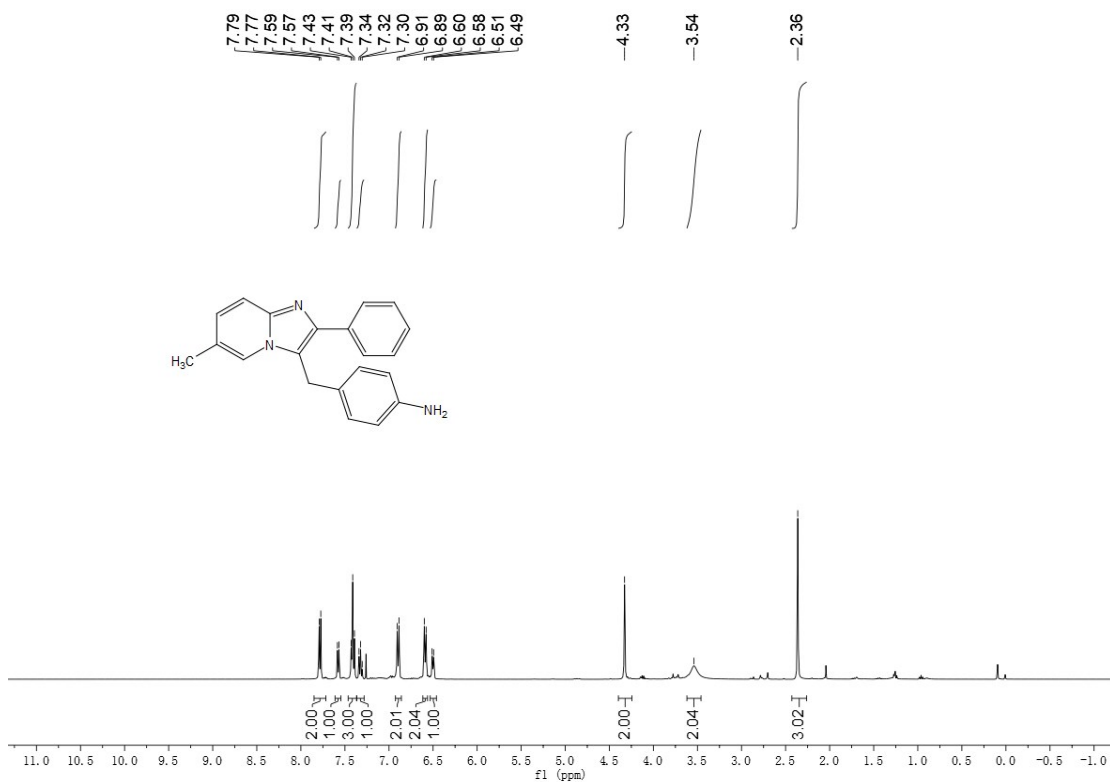
129.6, 129.6, 128.8, 115.4, 111.8, 47.8, 40.2, 25.6. HR-ESI-MS (*m/z*): calcd for C₁₇H₂₁N₂ [M + NH₃]⁺: 253.1621, found: 253.1625.

3. NMR spectra for new compounds

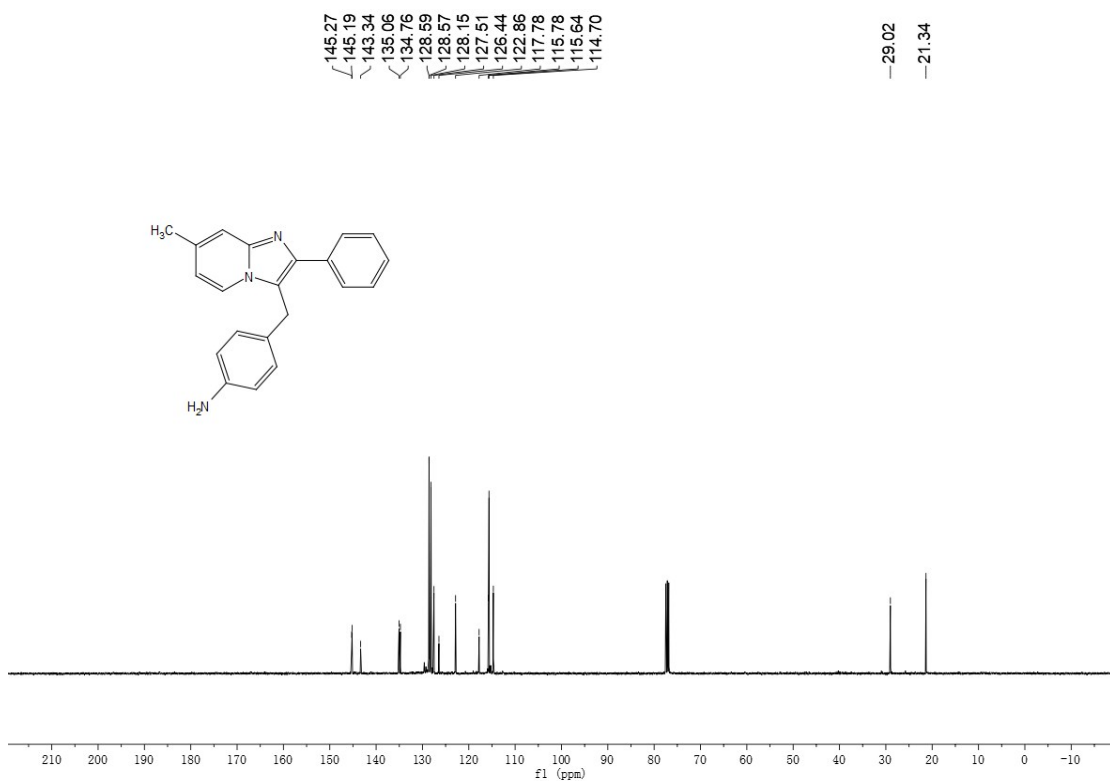
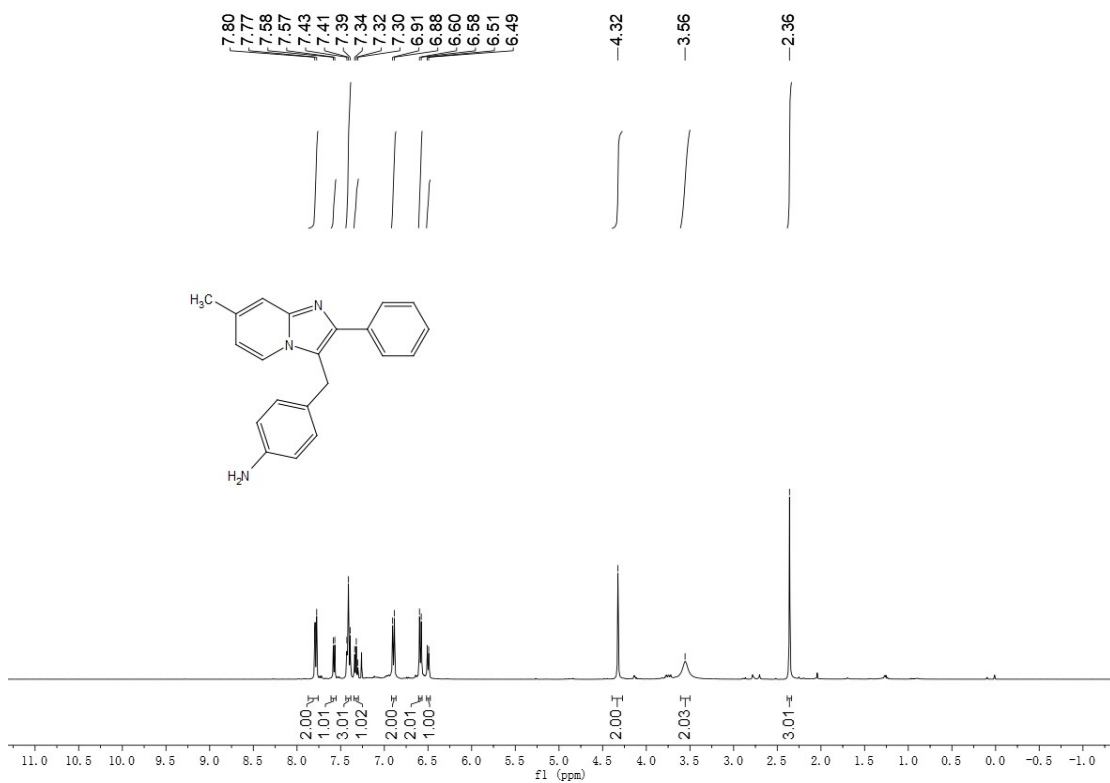
^1H NMR and ^{13}C NMR spectrum of compound **3a**



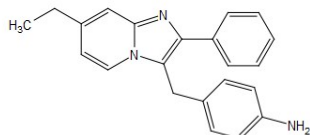
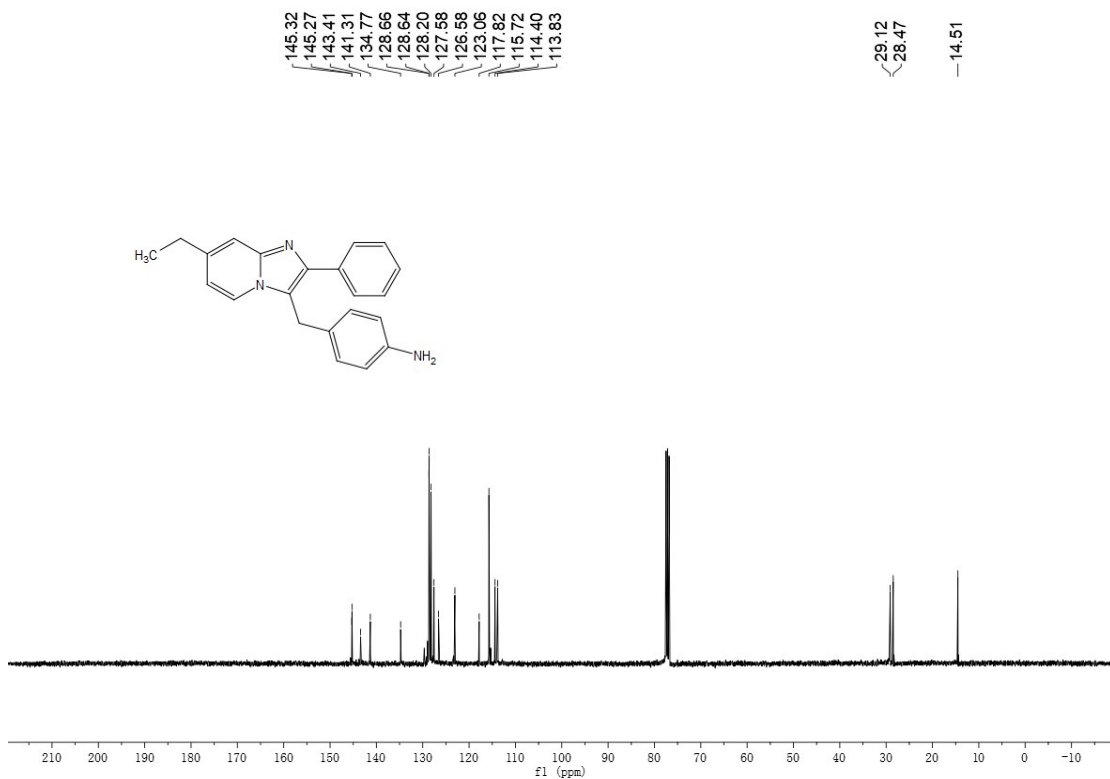
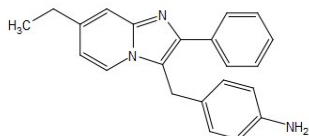
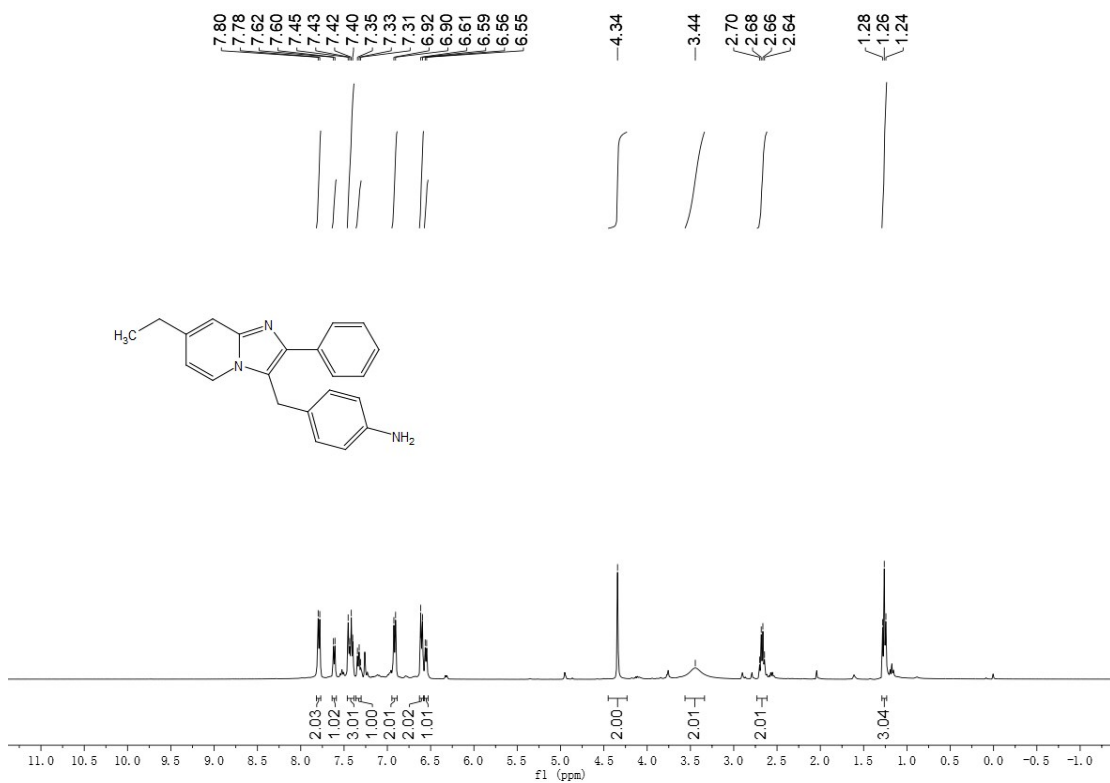
^1H NMR and ^{13}C NMR spectrum of compound **3b**



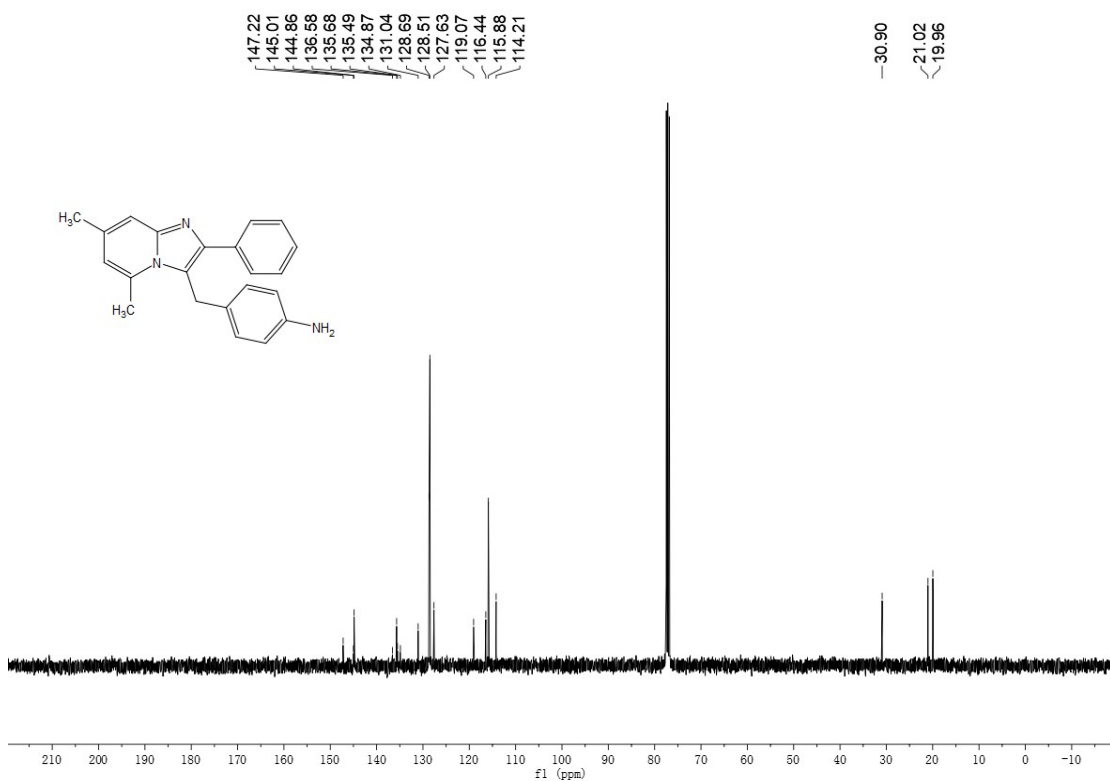
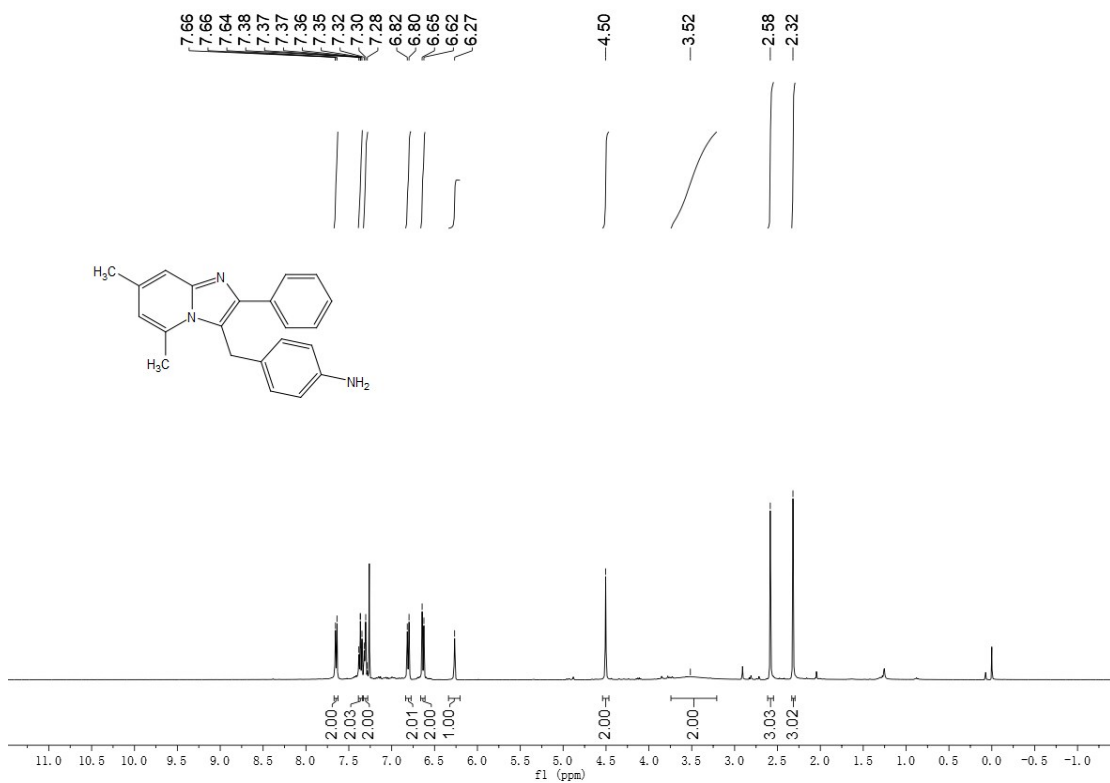
^1H NMR and ^{13}C NMR spectrum of compound **3c**



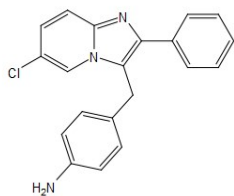
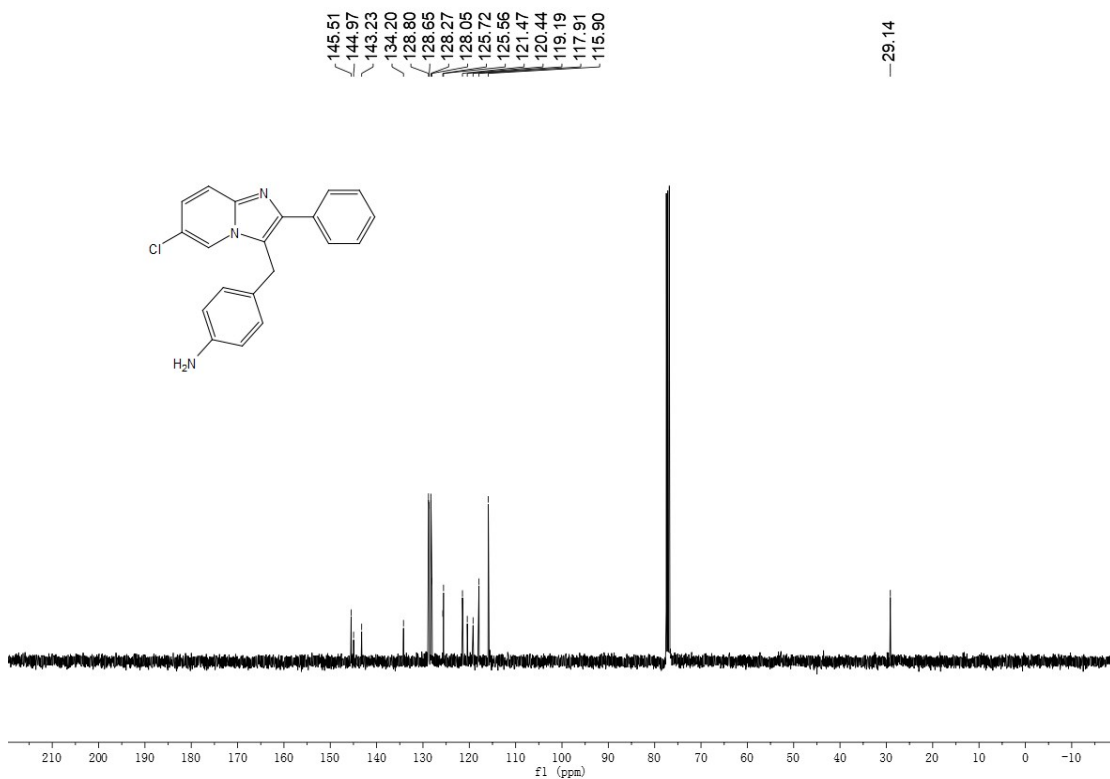
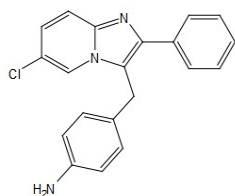
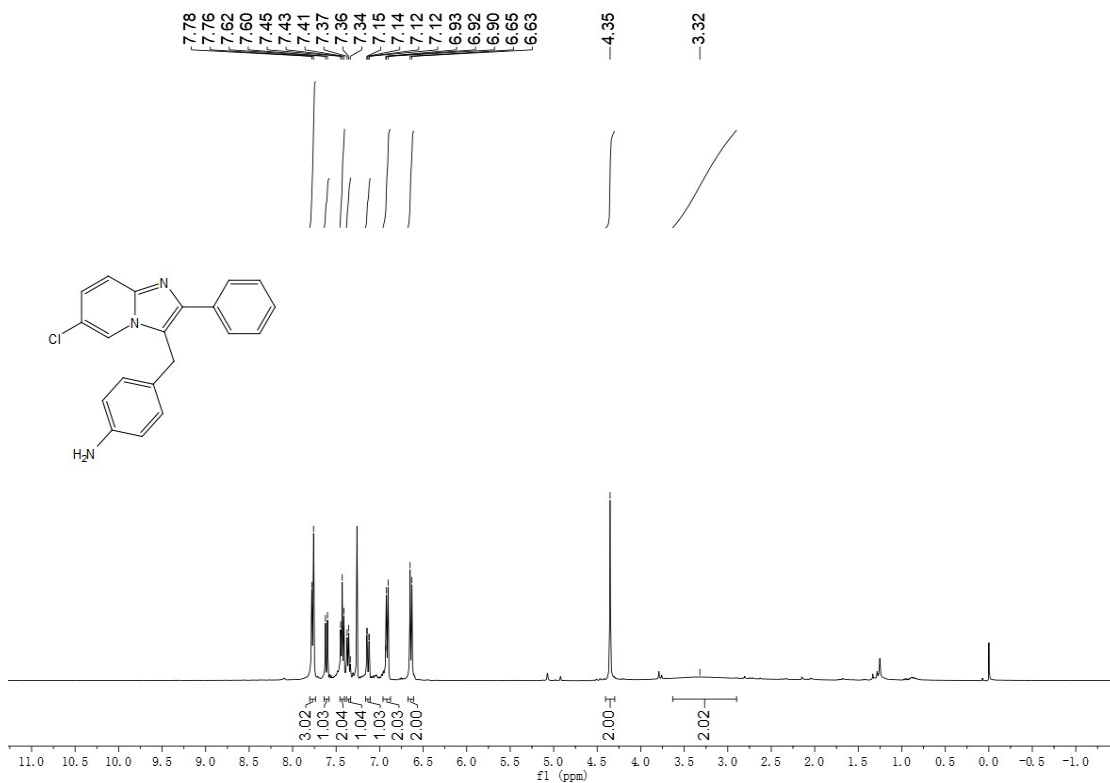
¹H NMR and ¹³C NMR spectrum of compound **3d**



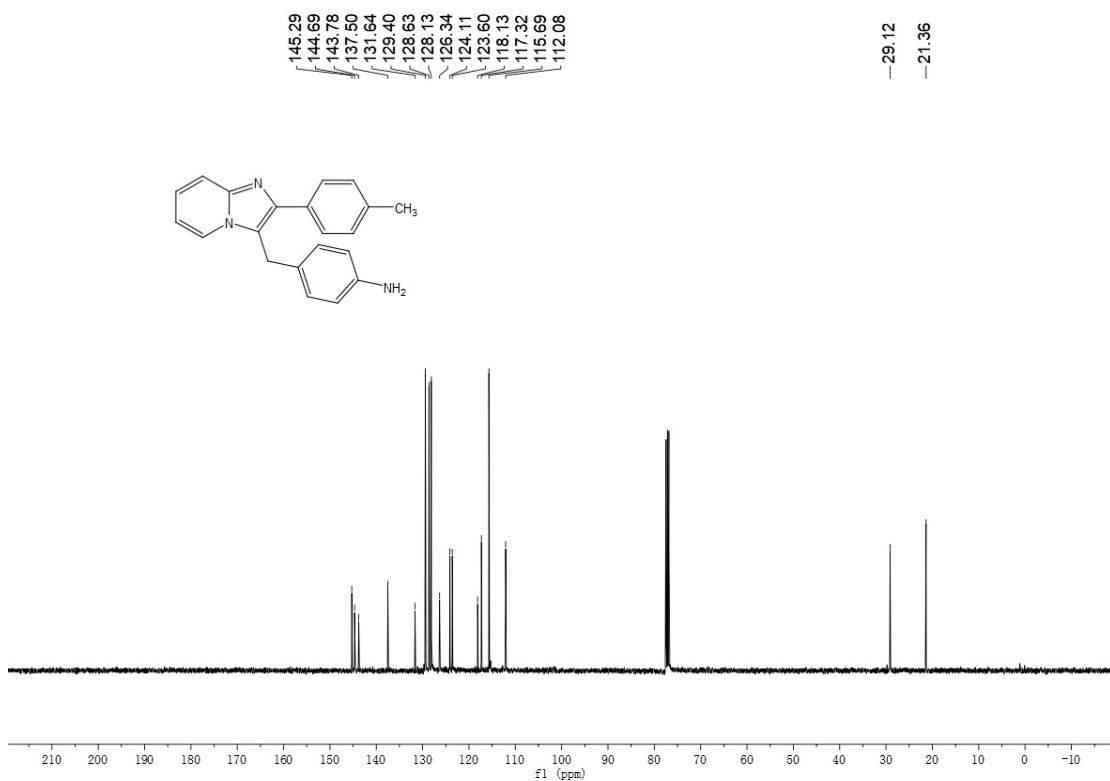
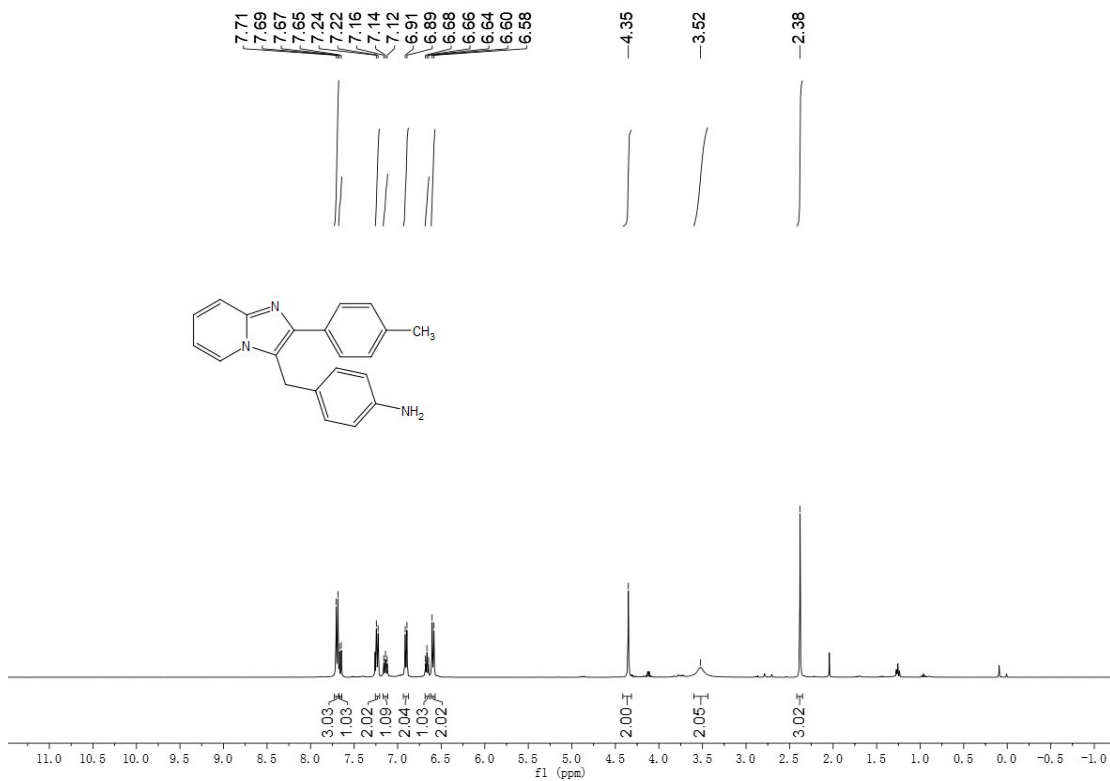
^1H NMR and ^{13}C NMR spectrum of compound **3e**



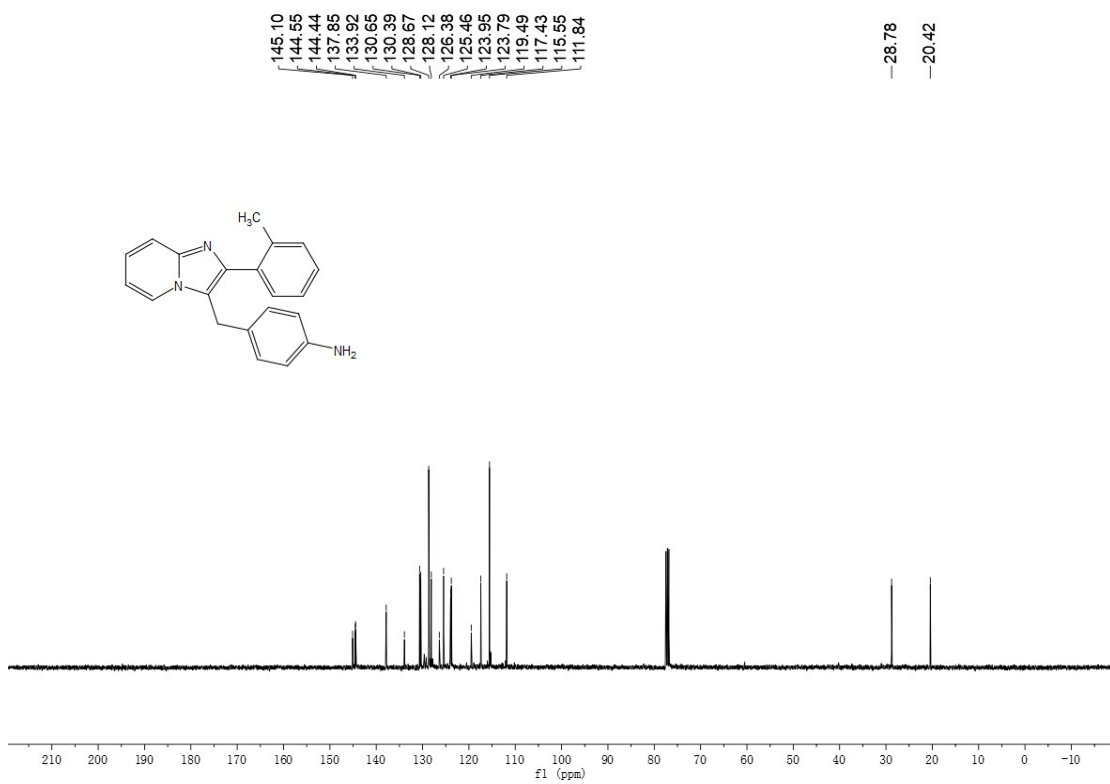
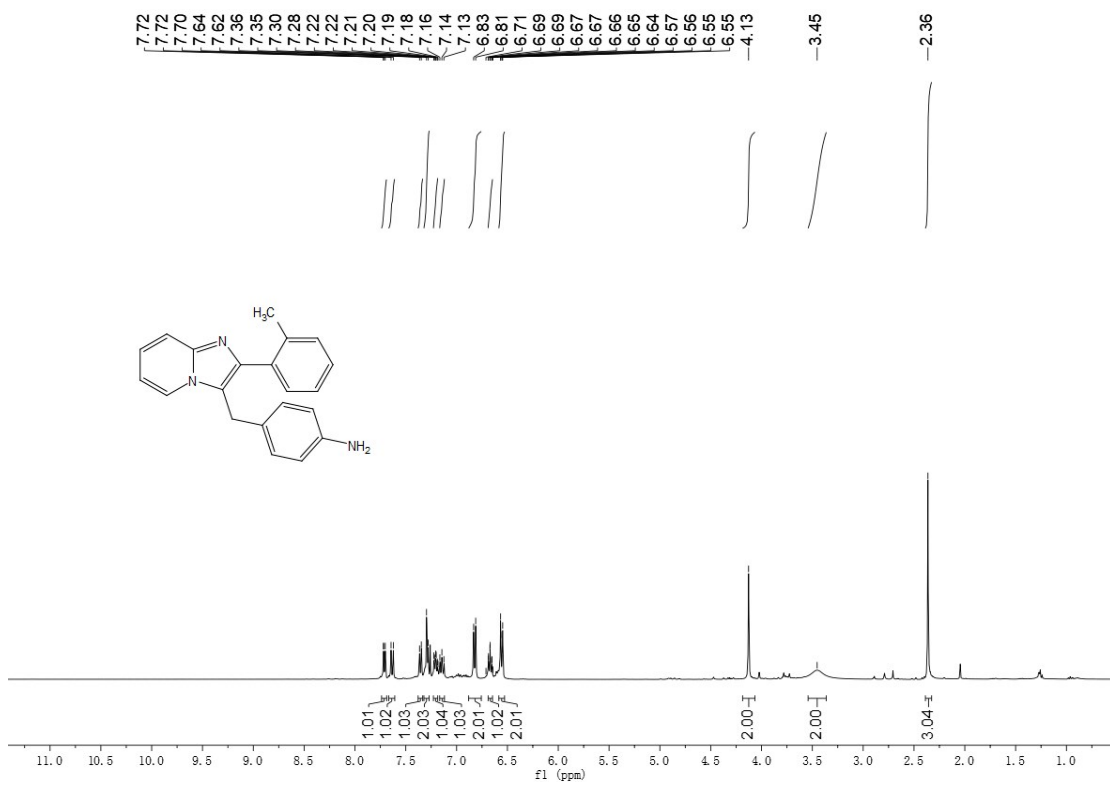
^1H NMR and ^{13}C NMR spectrum of compound **3f**



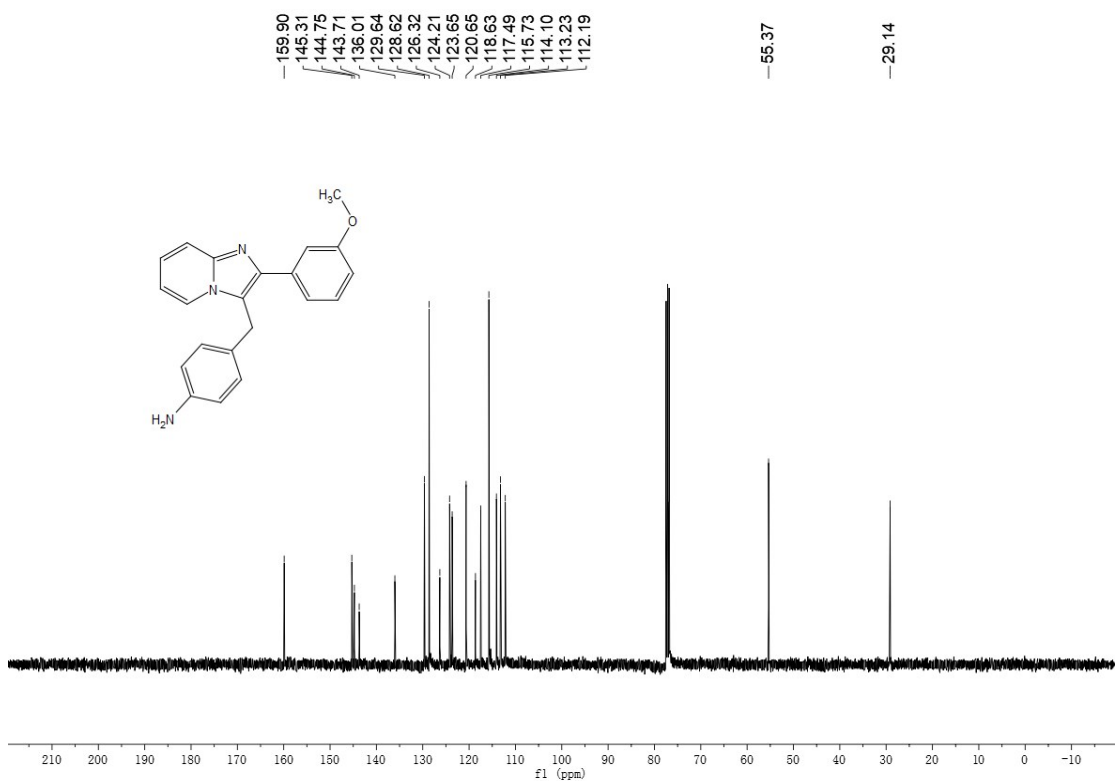
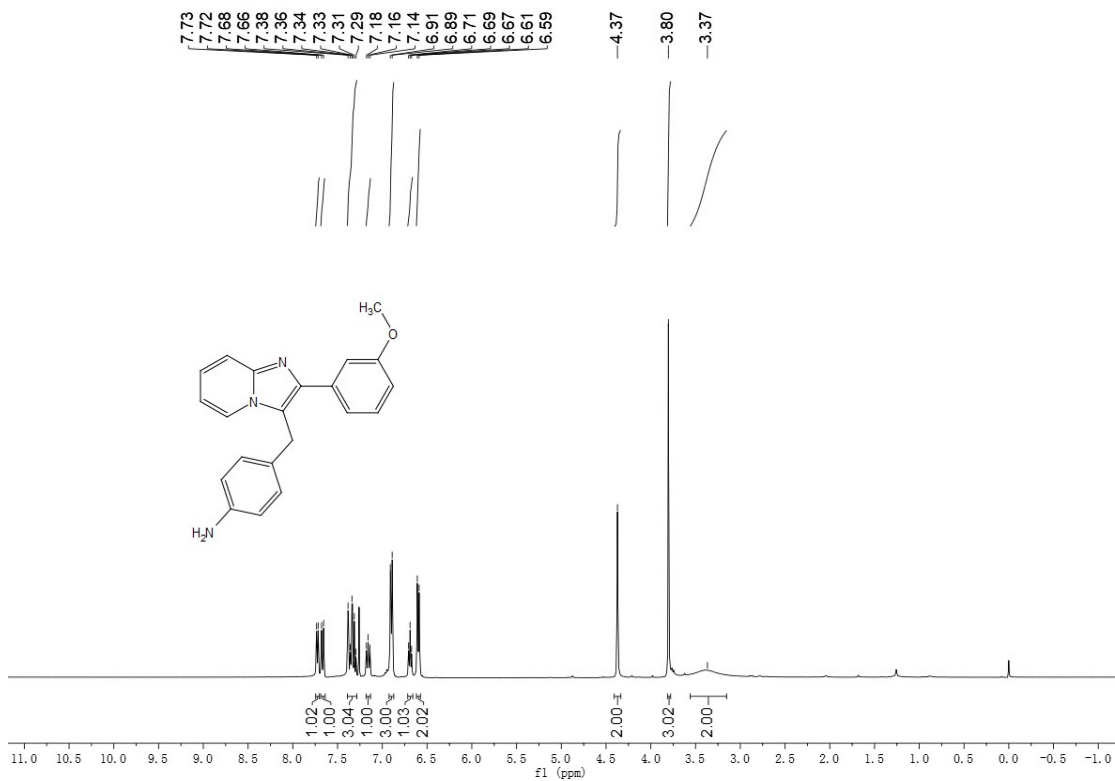
^1H NMR and ^{13}C NMR spectrum of compound **3g**



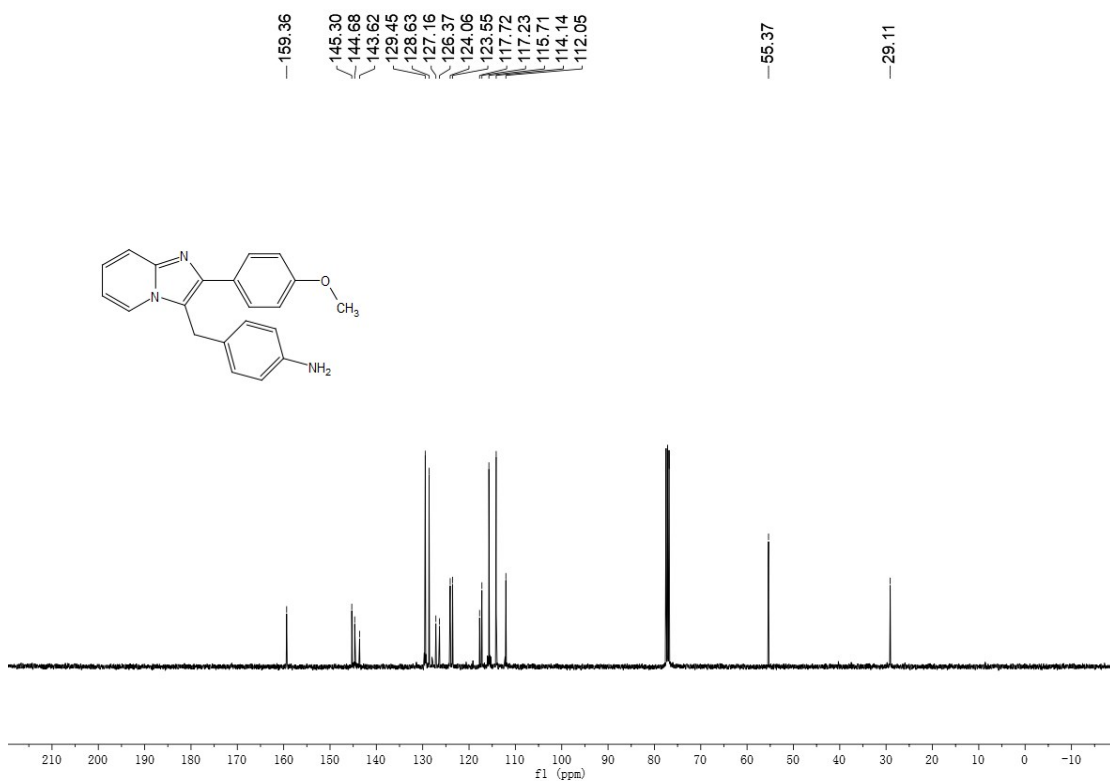
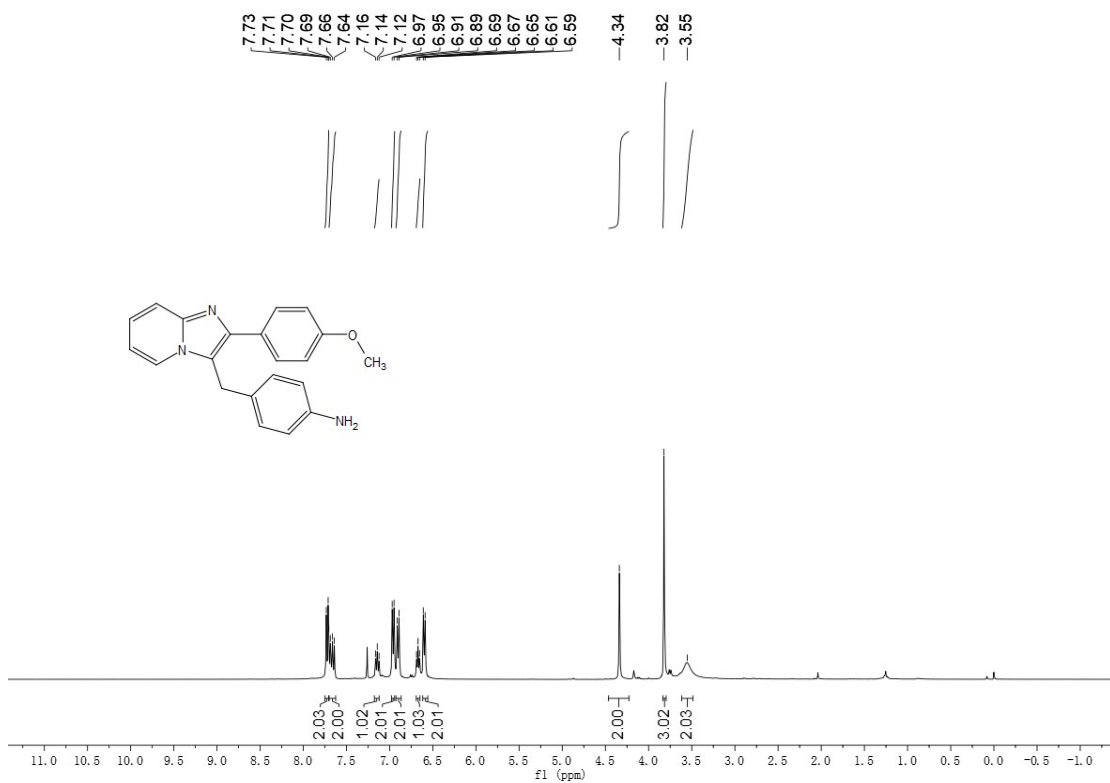
^1H NMR and ^{13}C NMR spectrum of compound **3h**



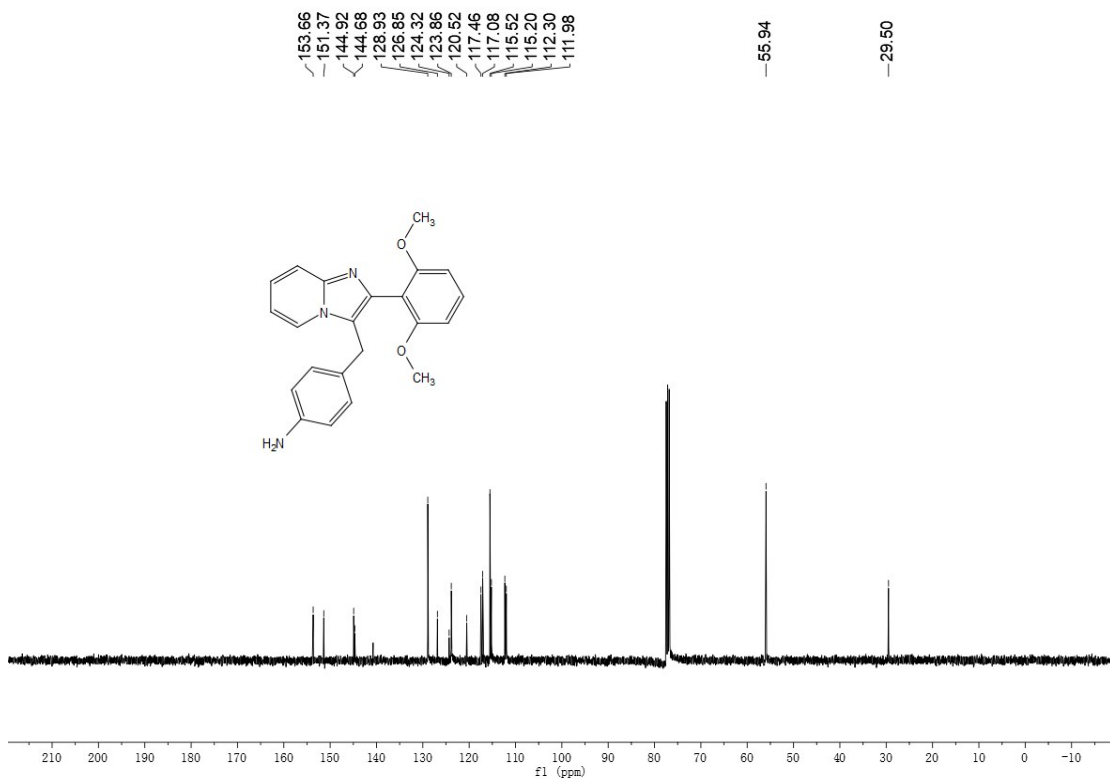
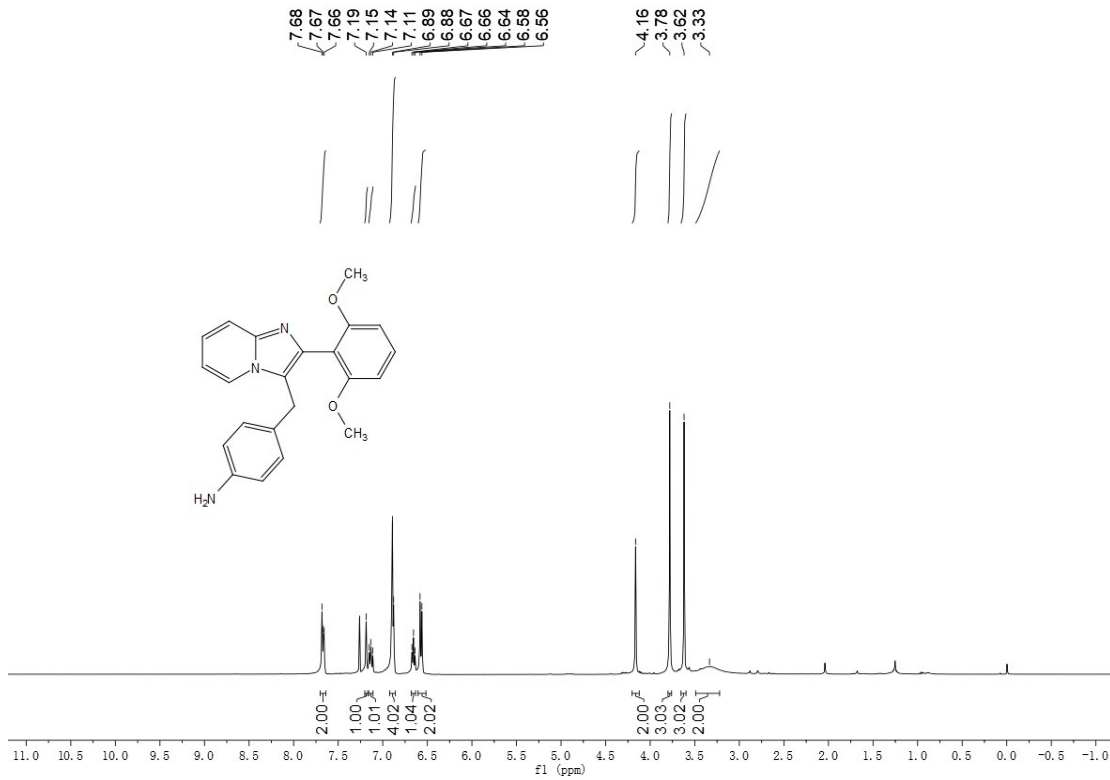
^1H NMR and ^{13}C NMR spectrum of compound **3j**



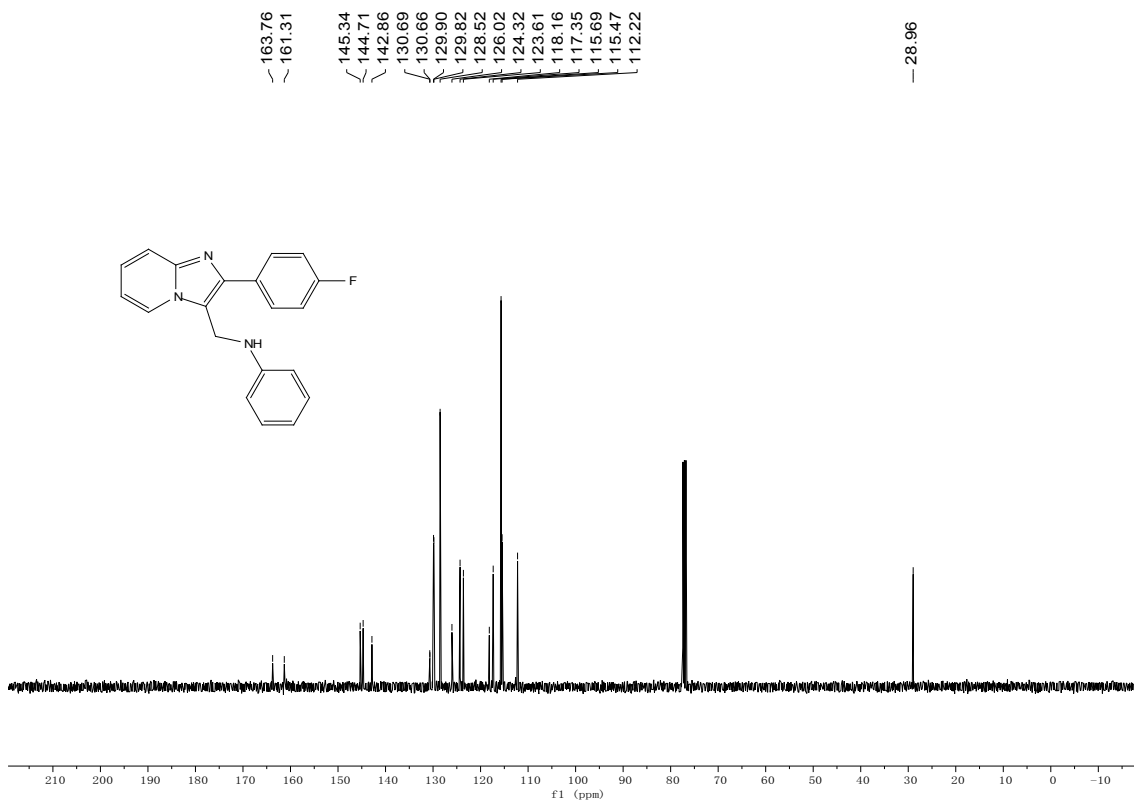
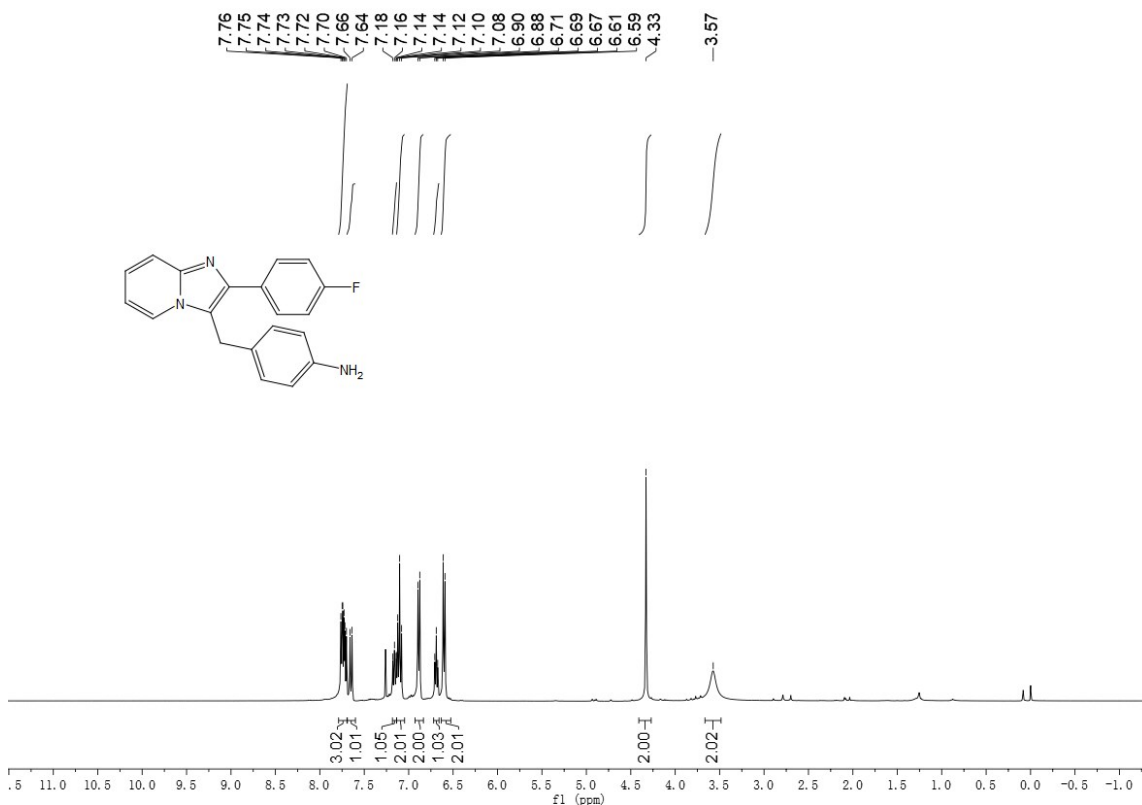
^1H NMR and ^{13}C NMR spectrum of compound **3k**



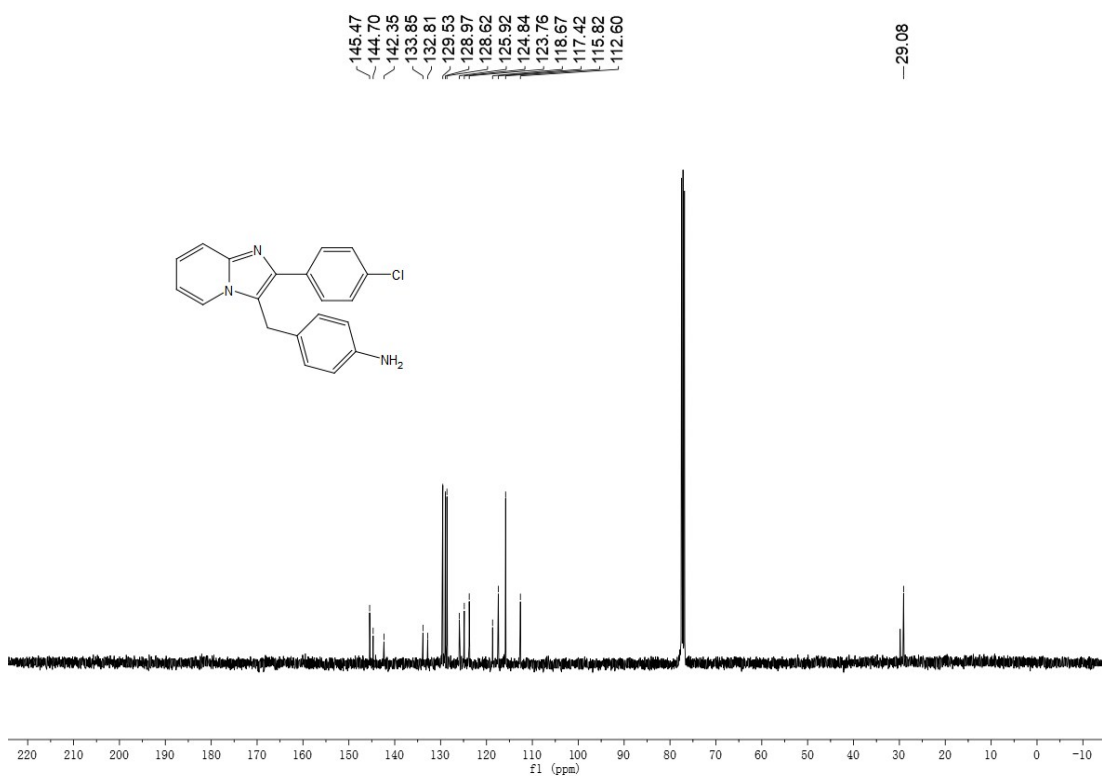
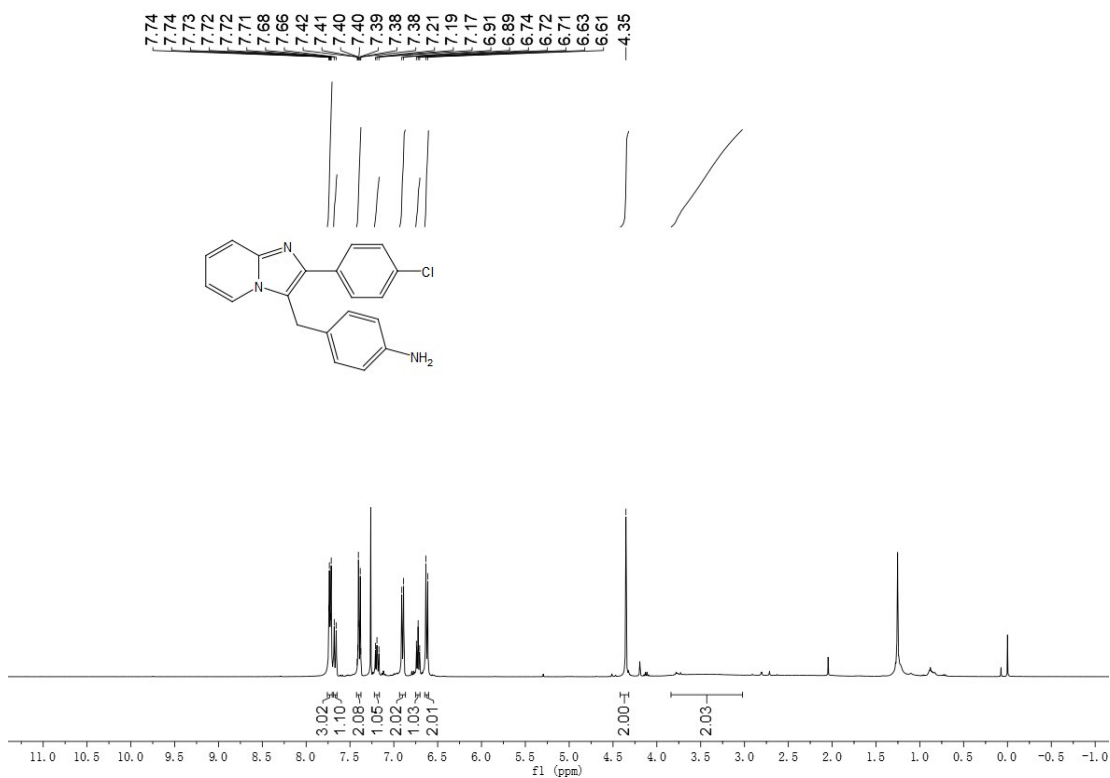
^1H NMR and ^{13}C NMR spectrum of compound **31**



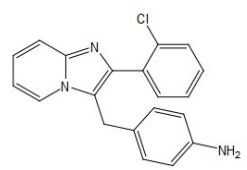
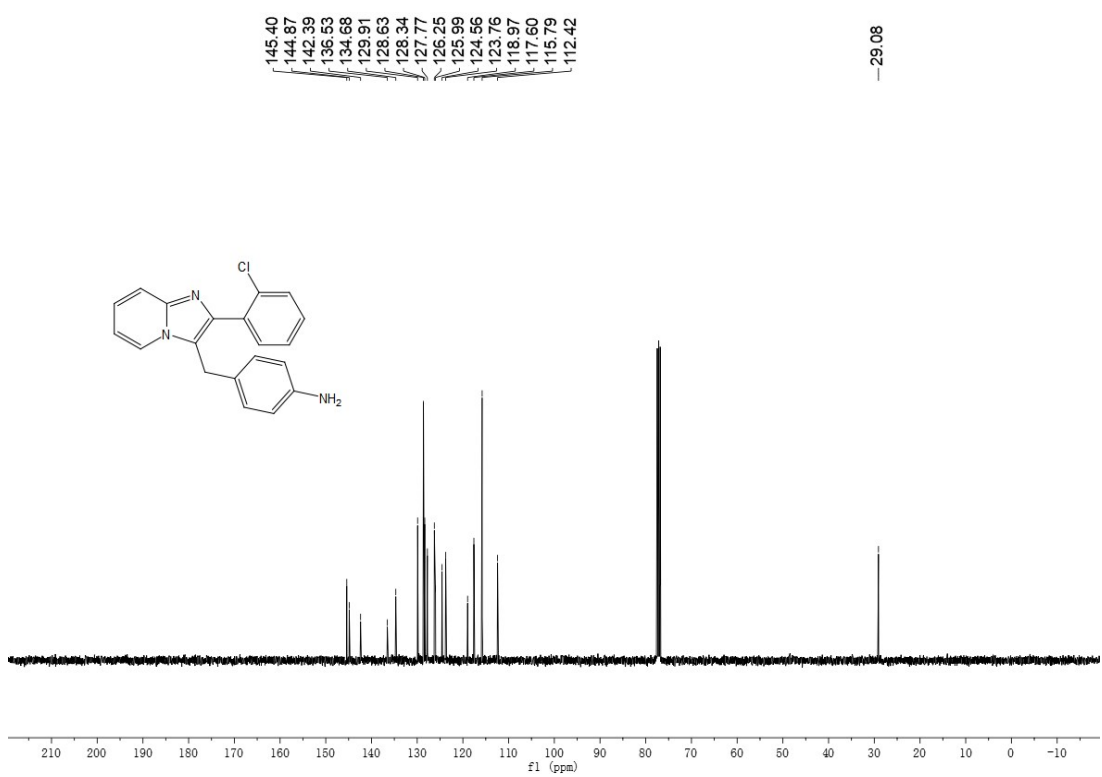
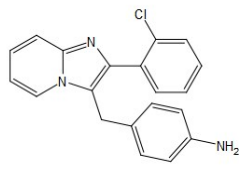
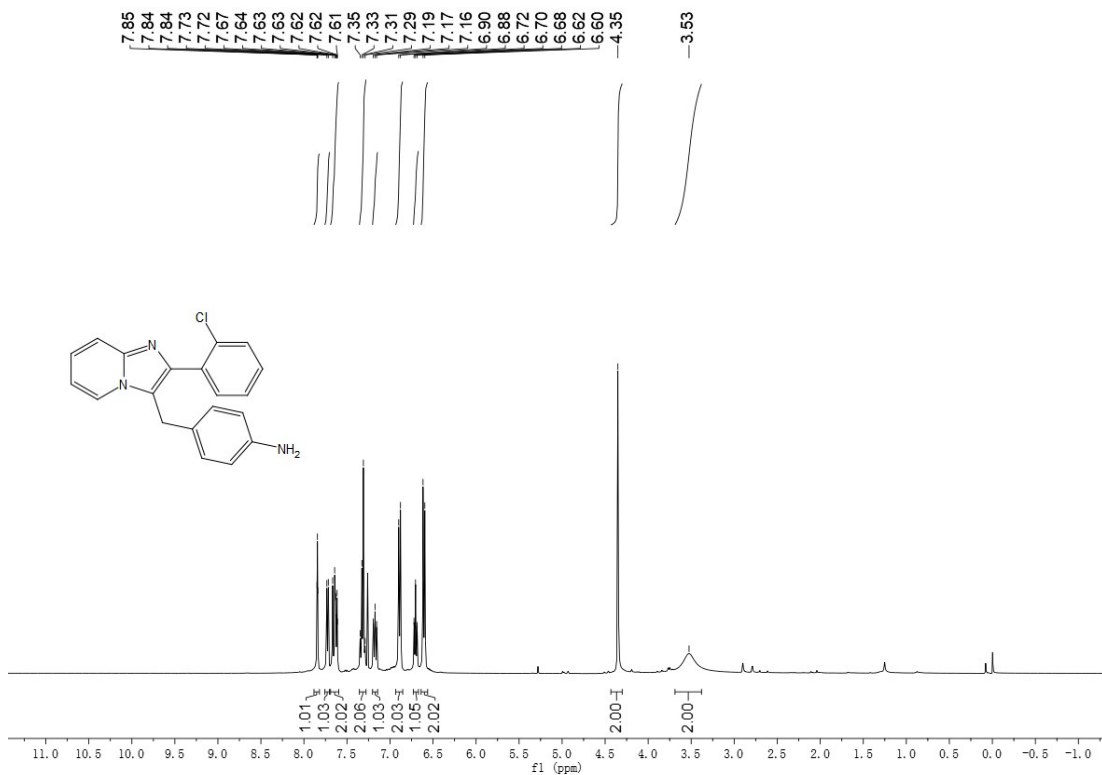
^1H NMR and ^{13}C NMR spectrum of compound **3m**



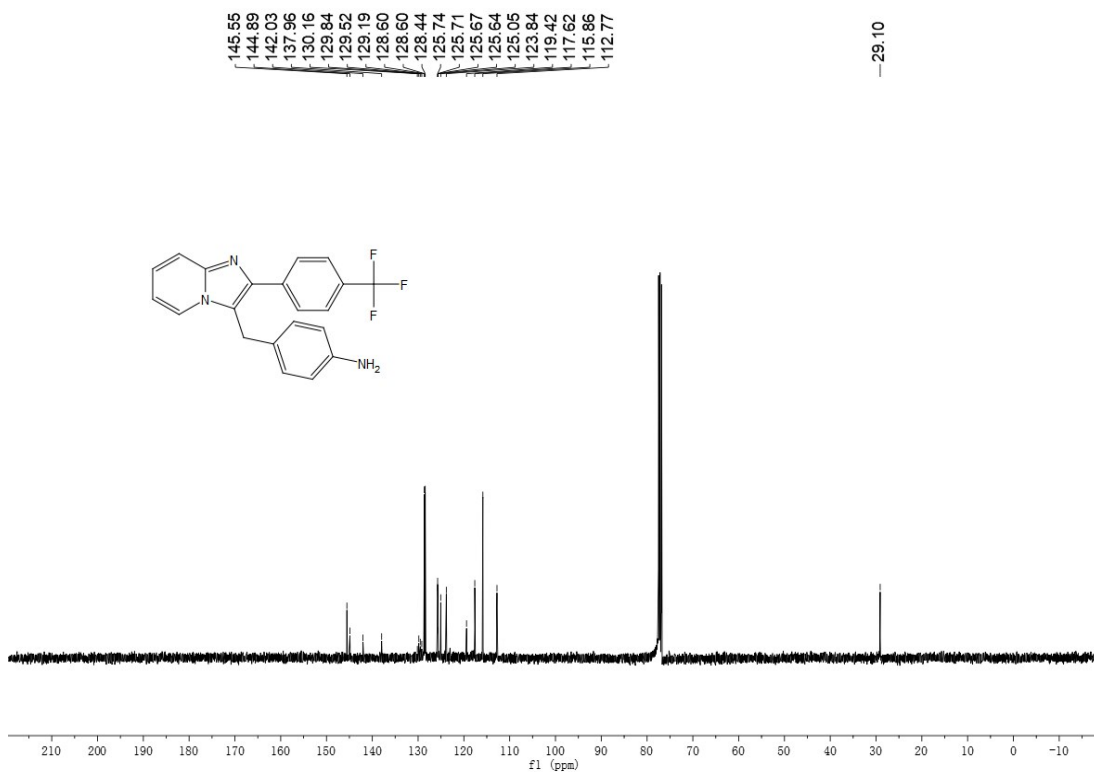
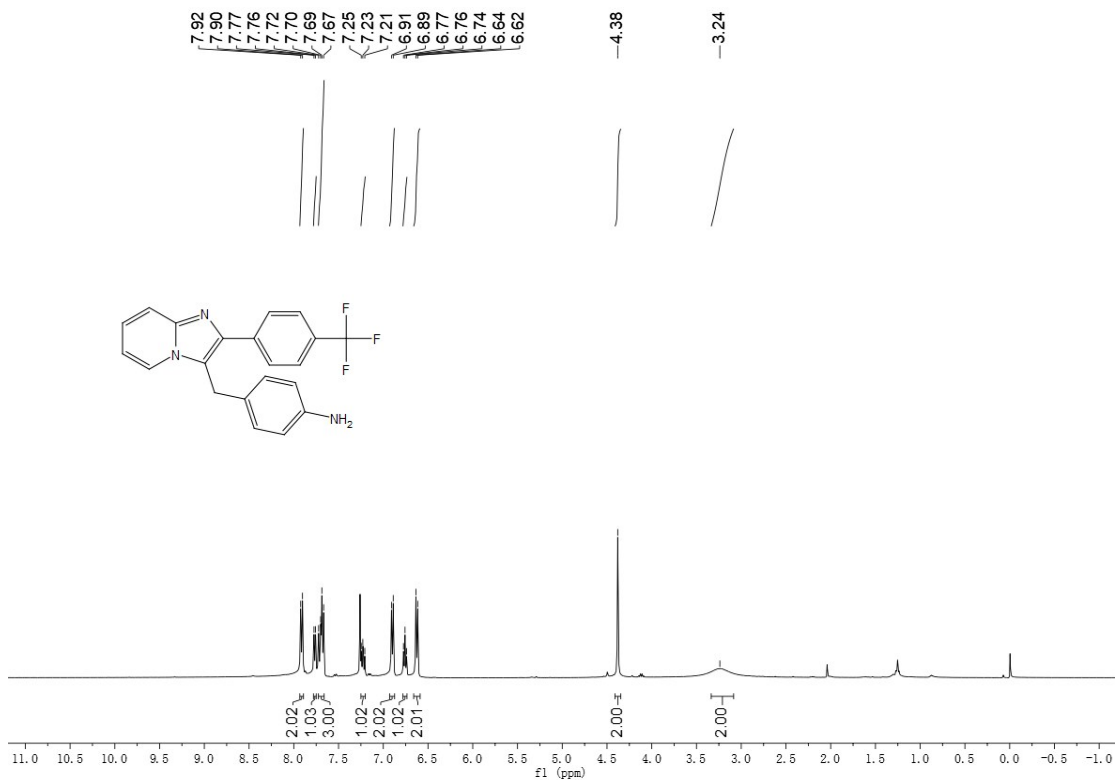
^1H NMR and ^{13}C NMR spectrum of compound **30**



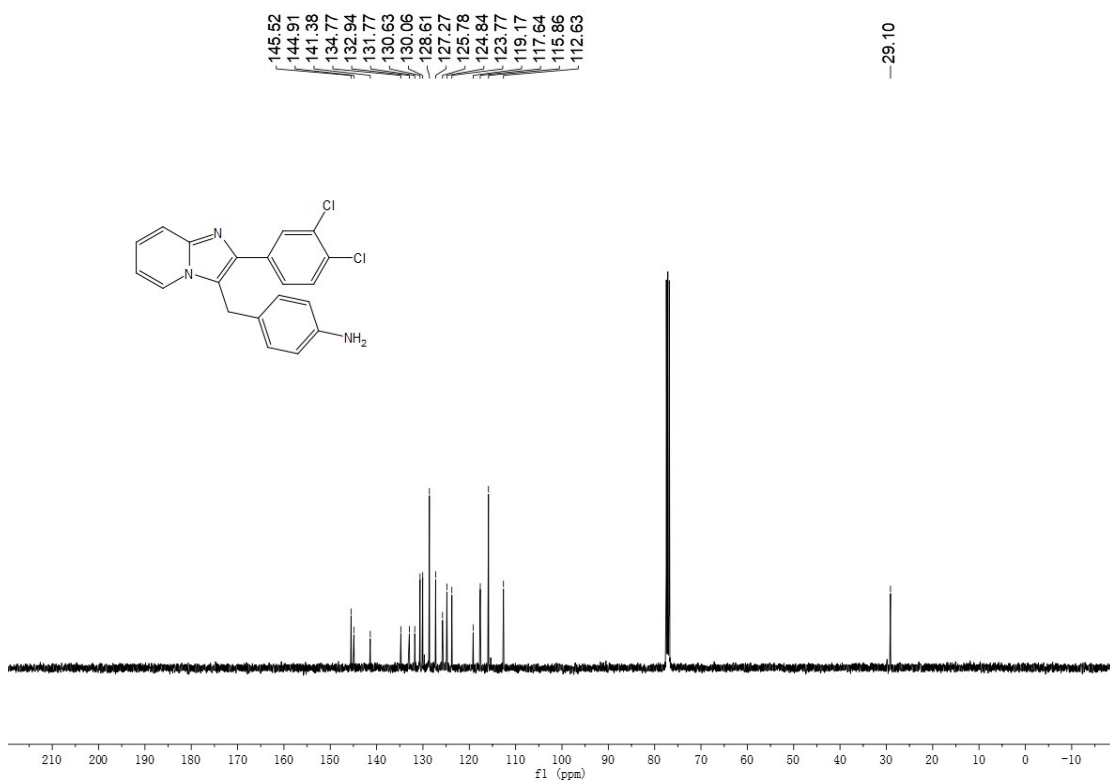
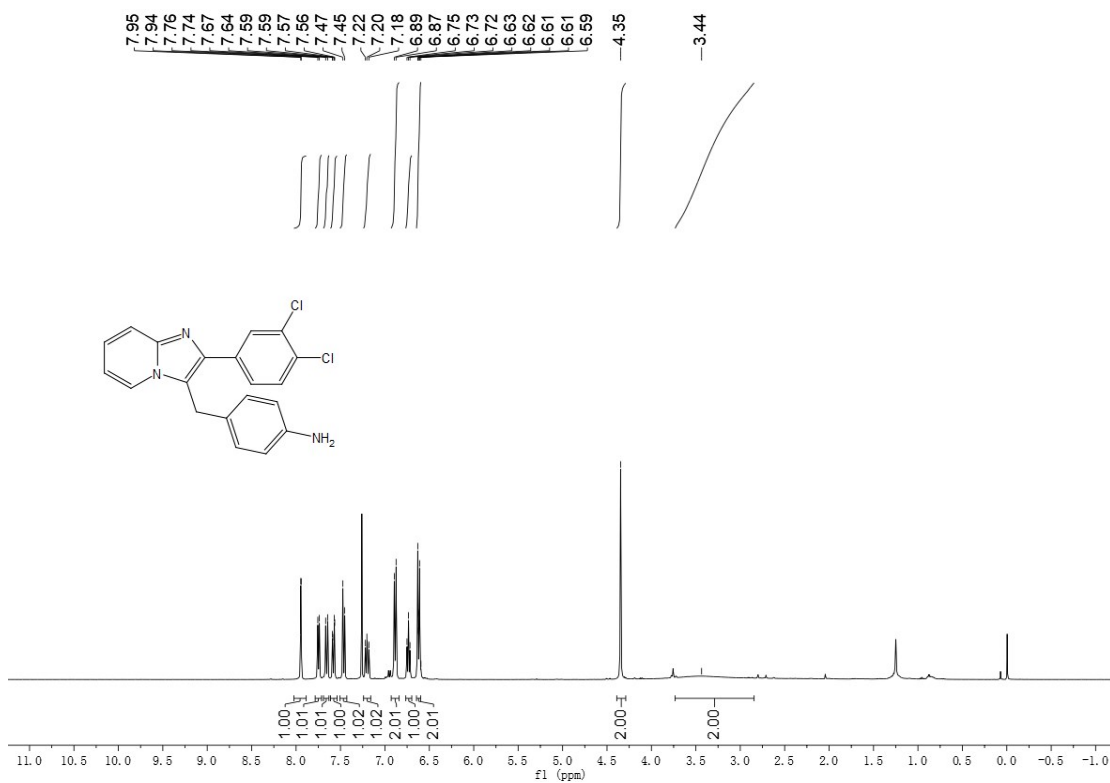
^1H NMR and ^{13}C NMR spectrum of compound **3p**



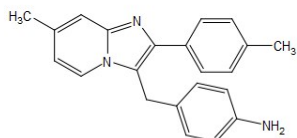
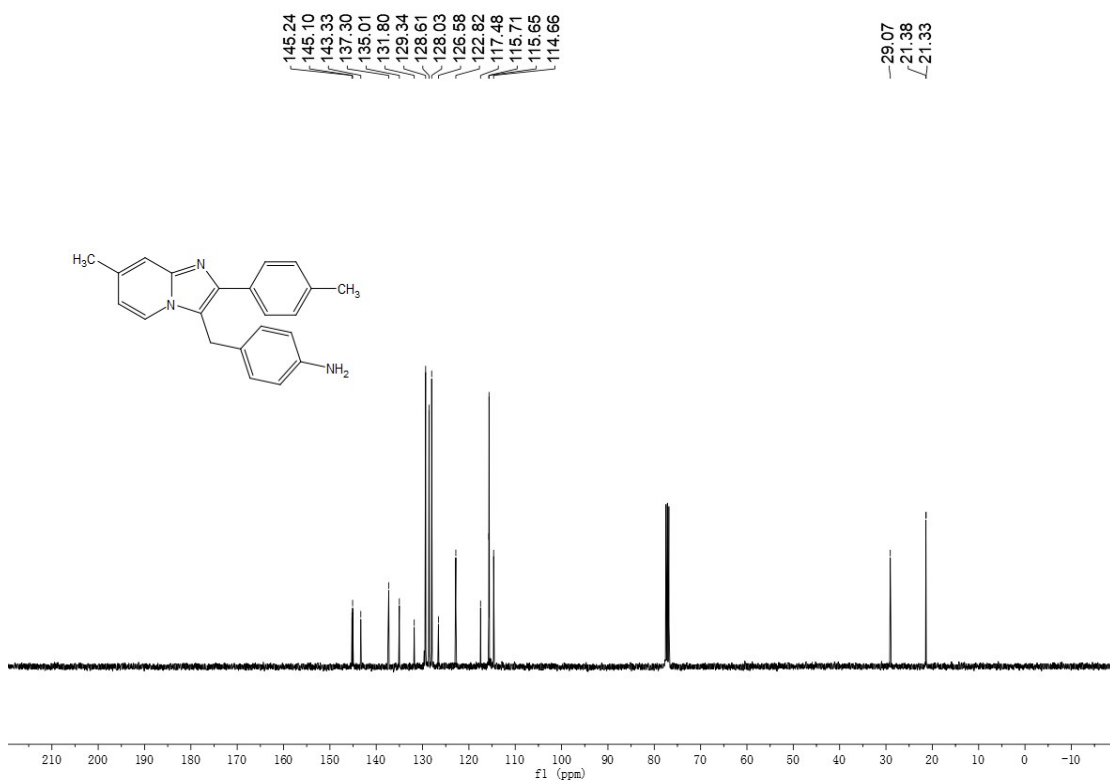
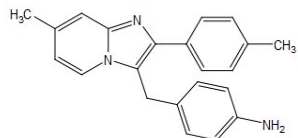
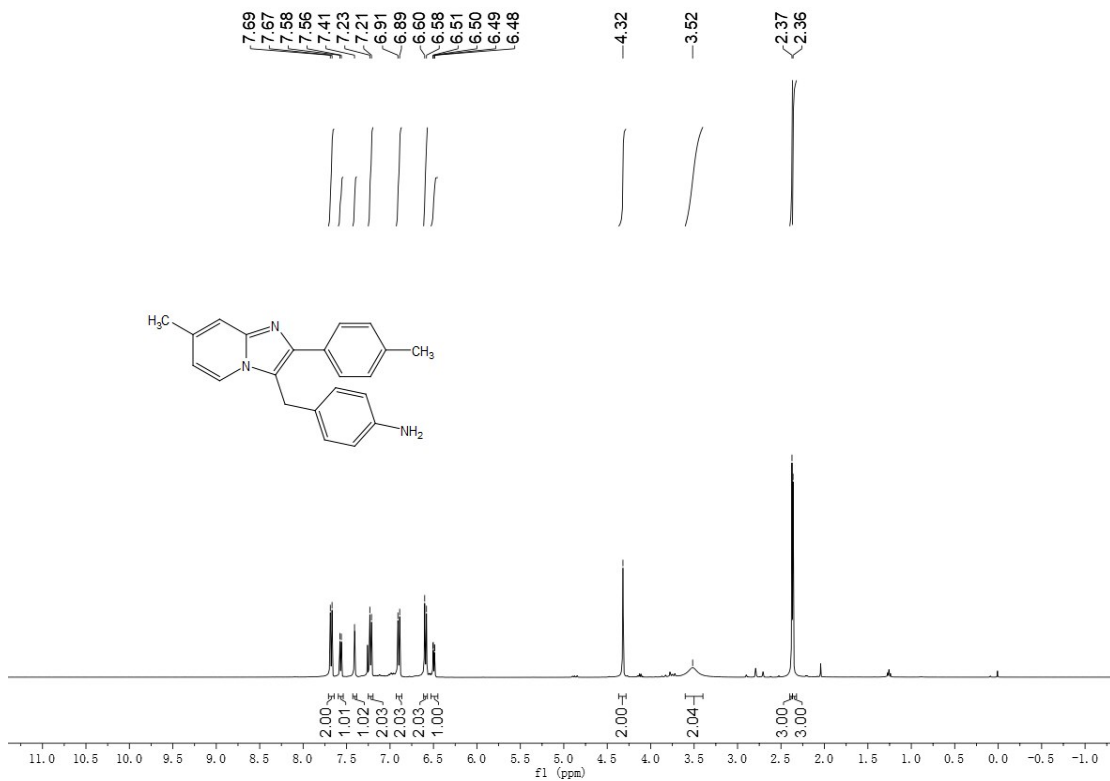
^1H NMR and ^{13}C NMR spectrum of compound **3q**



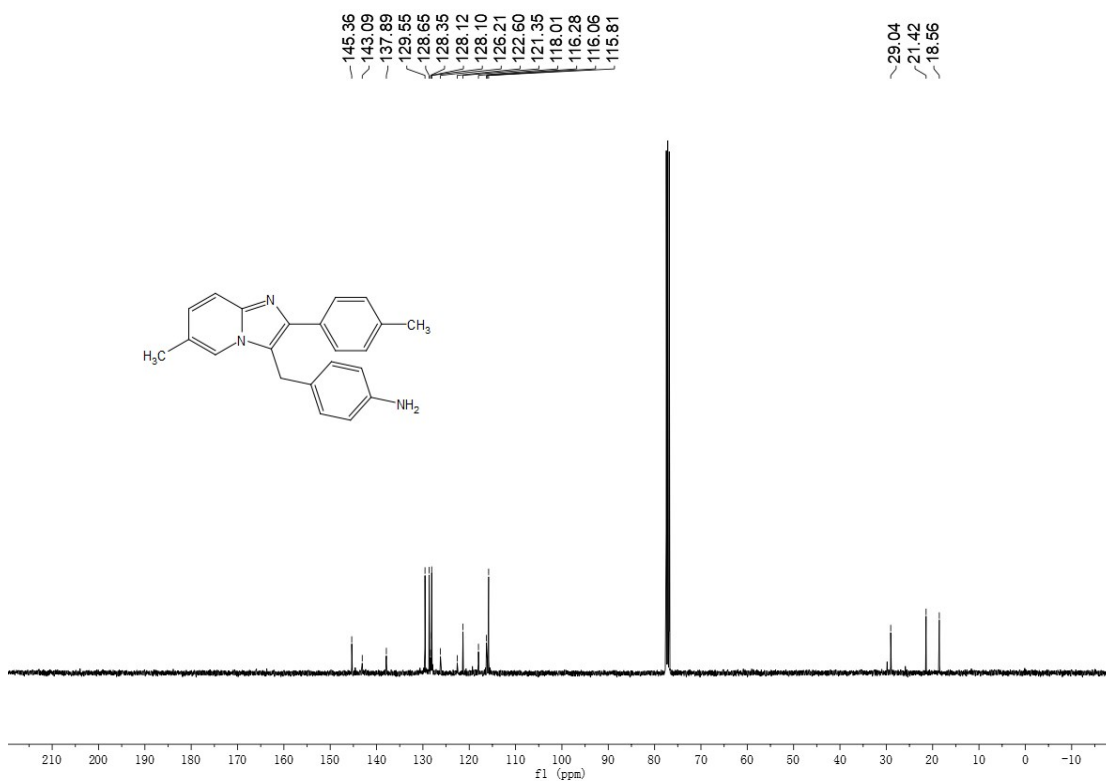
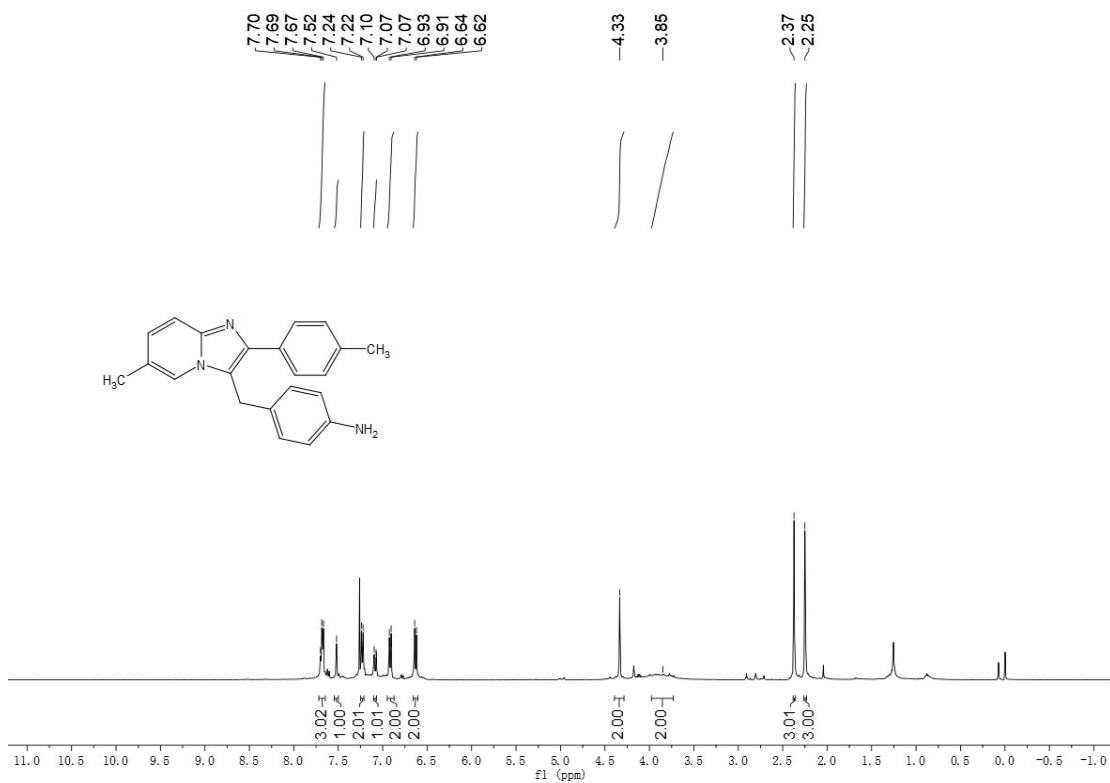
^1H NMR and ^{13}C NMR spectrum of compound **3r**



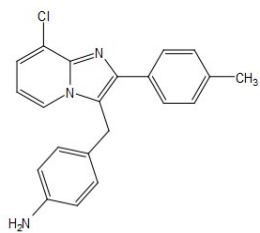
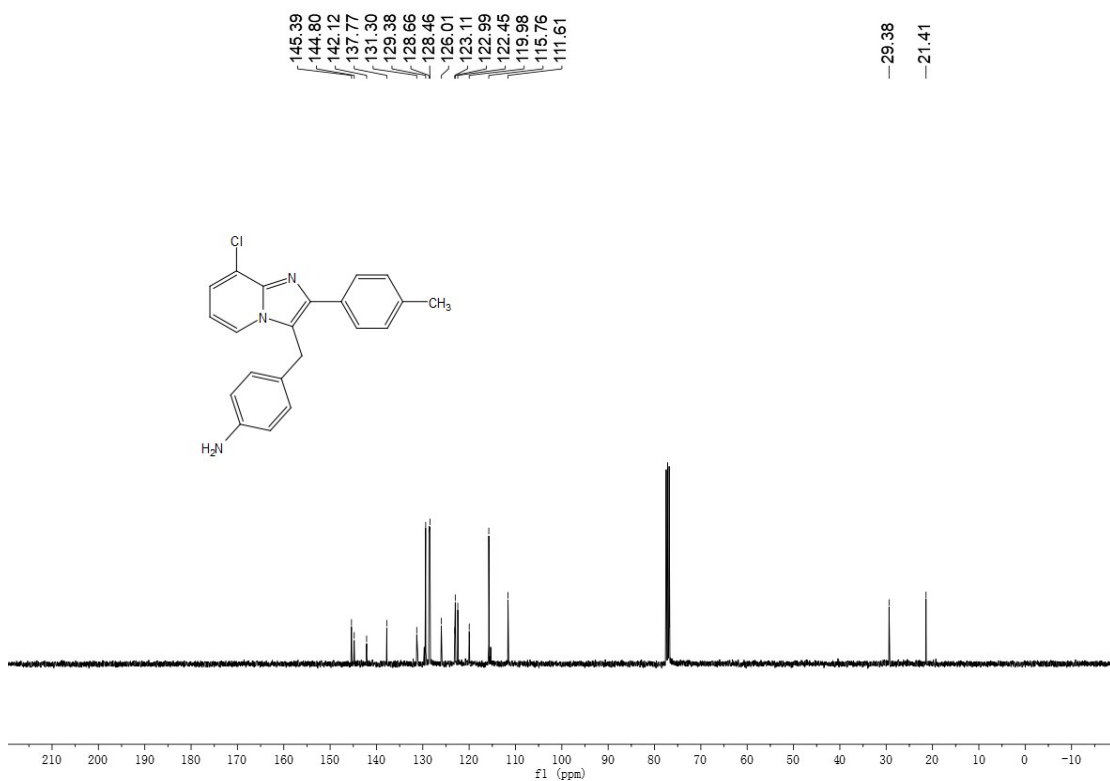
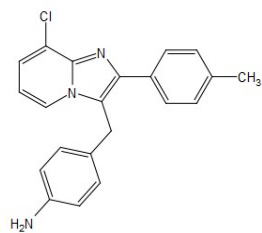
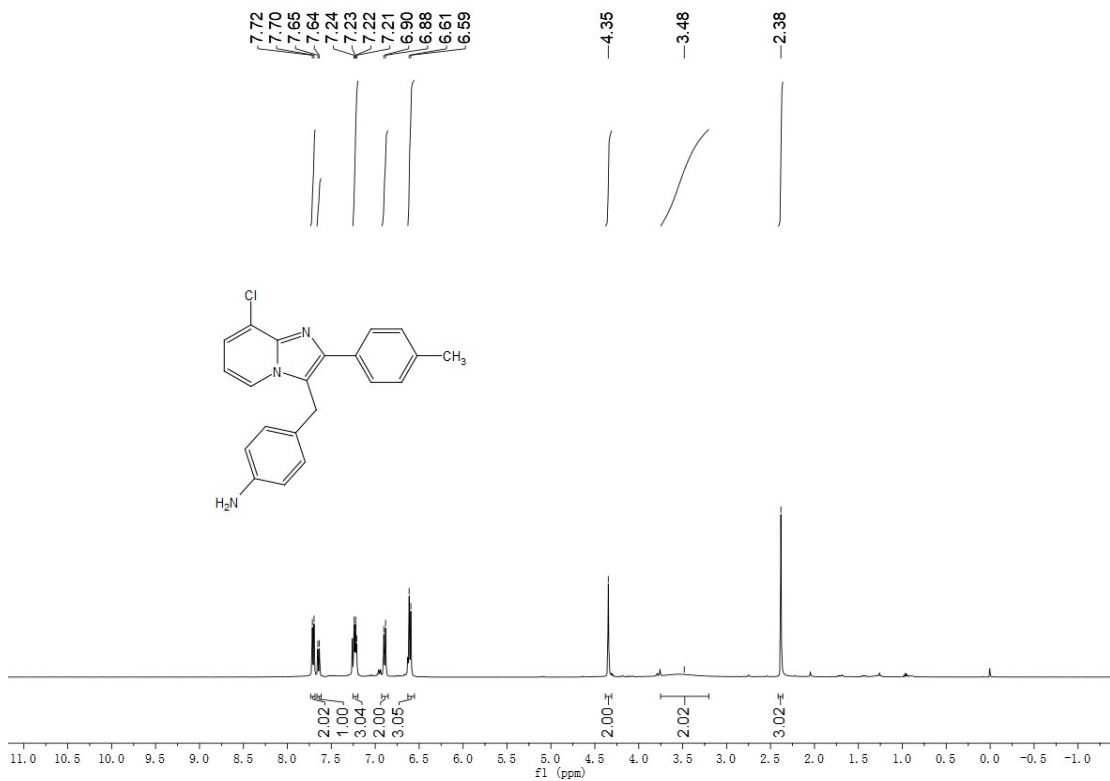
^1H NMR and ^{13}C NMR spectrum of compound **3s**



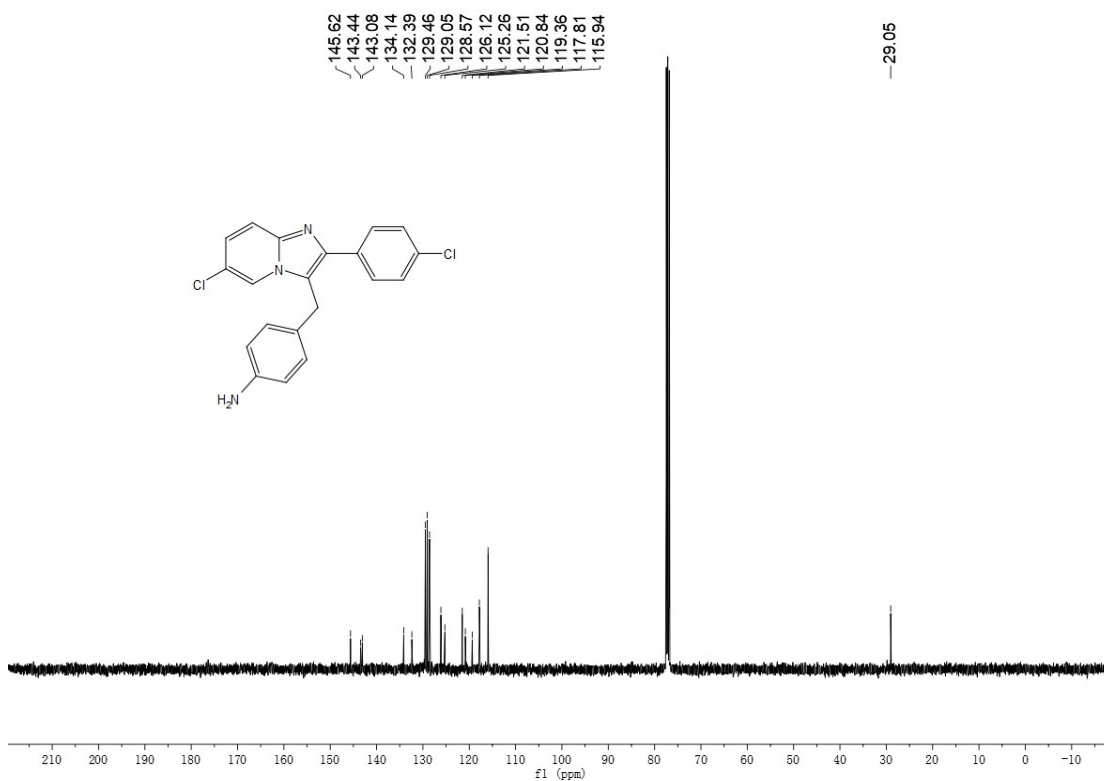
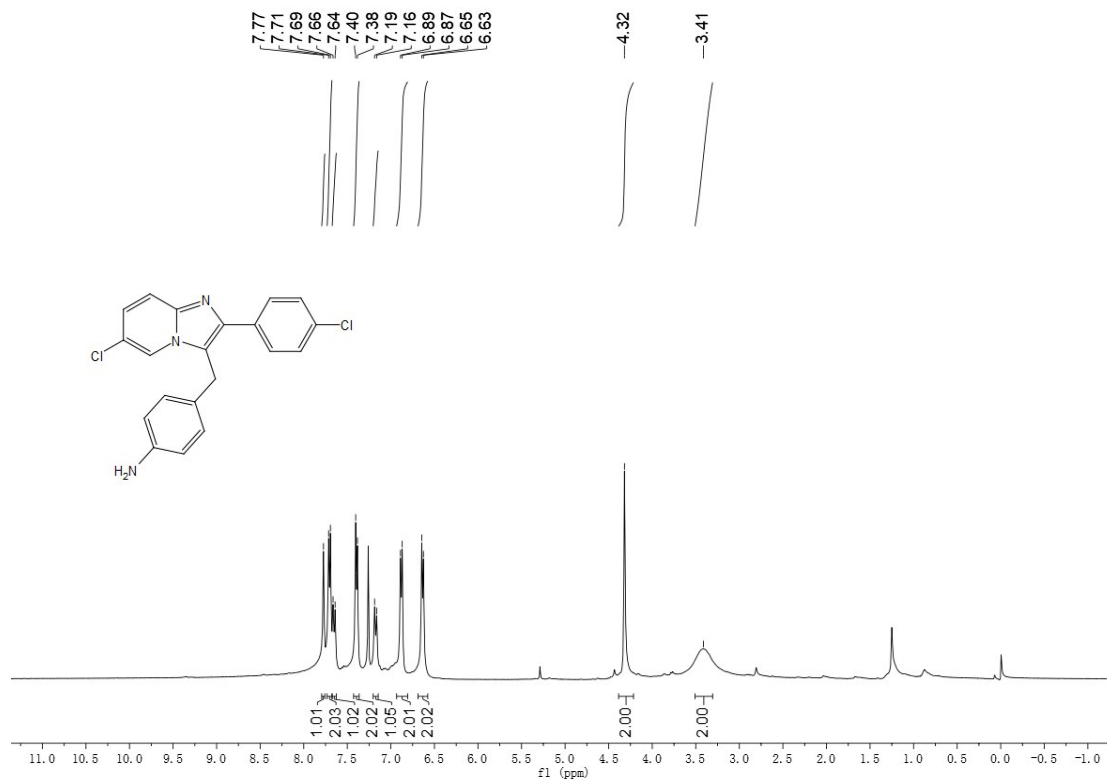
^1H NMR and ^{13}C NMR spectrum of compound **3t**



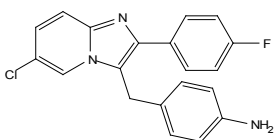
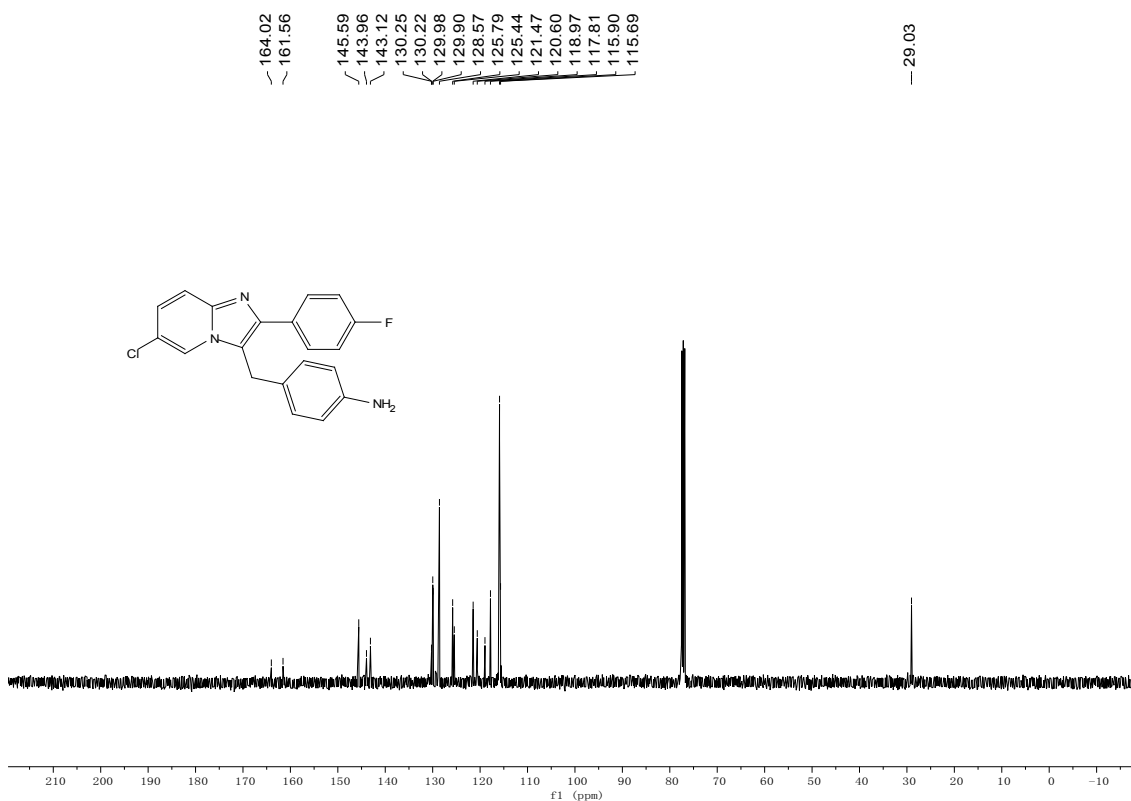
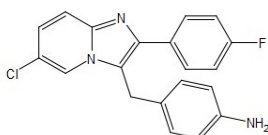
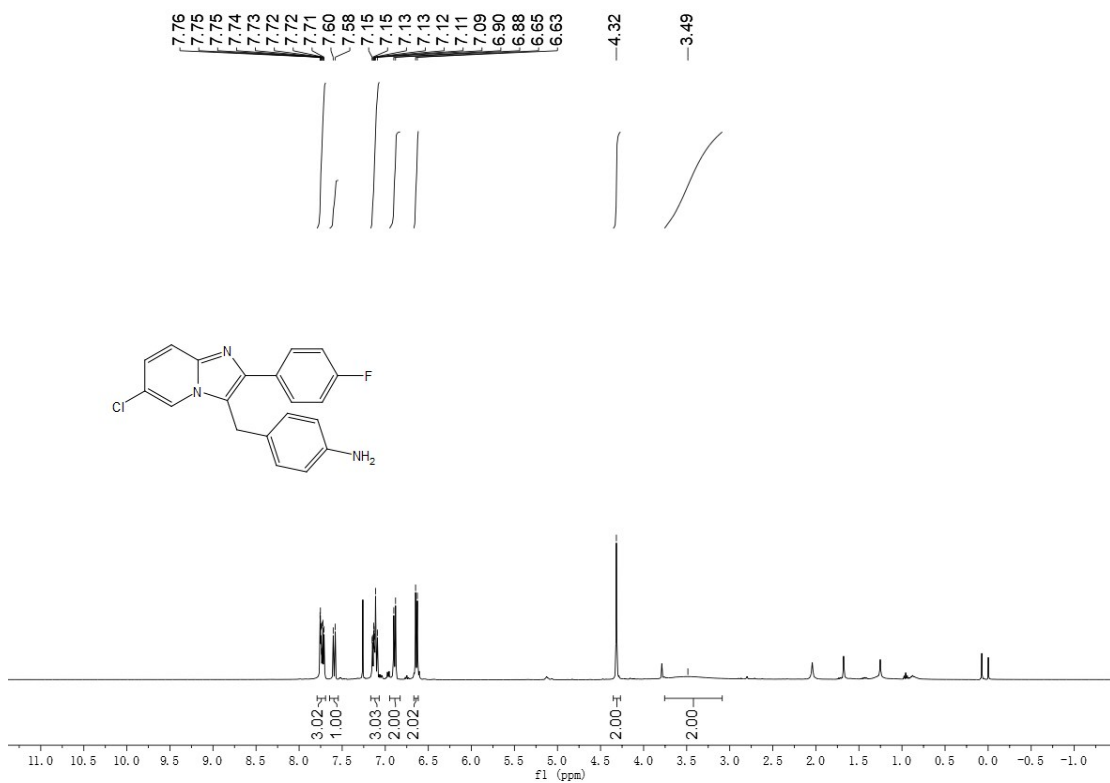
^1H NMR and ^{13}C NMR spectrum of compound **3u**



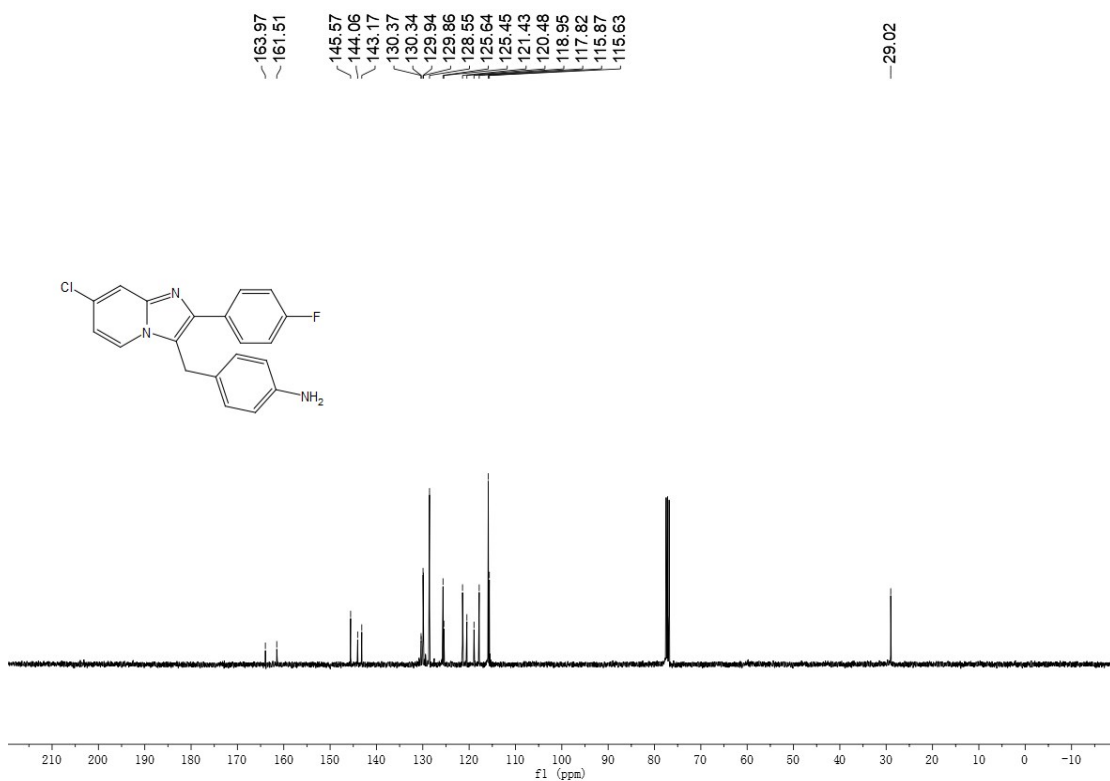
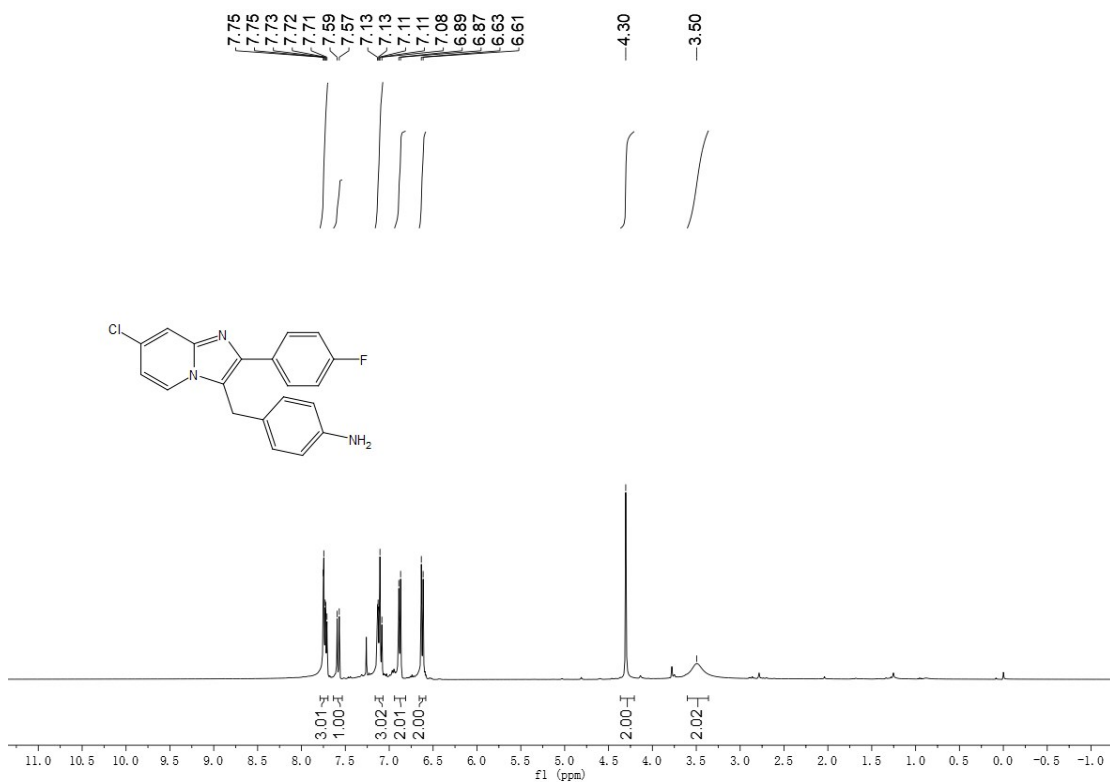
^1H NMR and ^{13}C NMR spectrum of compound **3v**



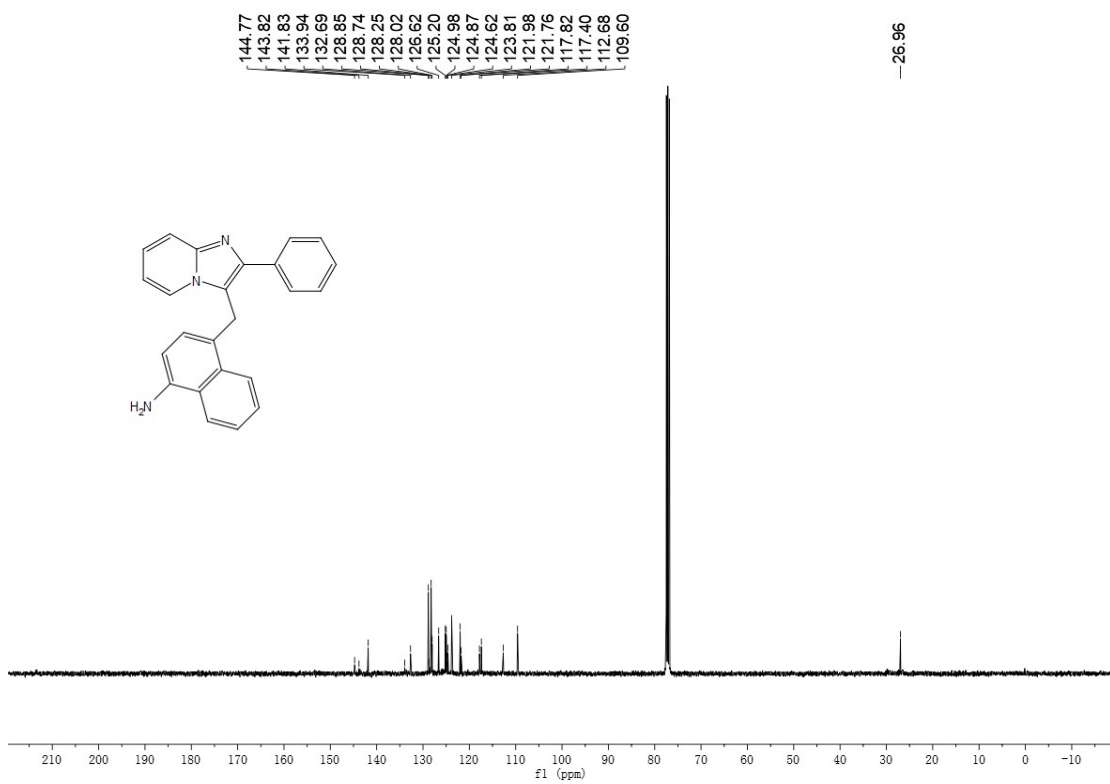
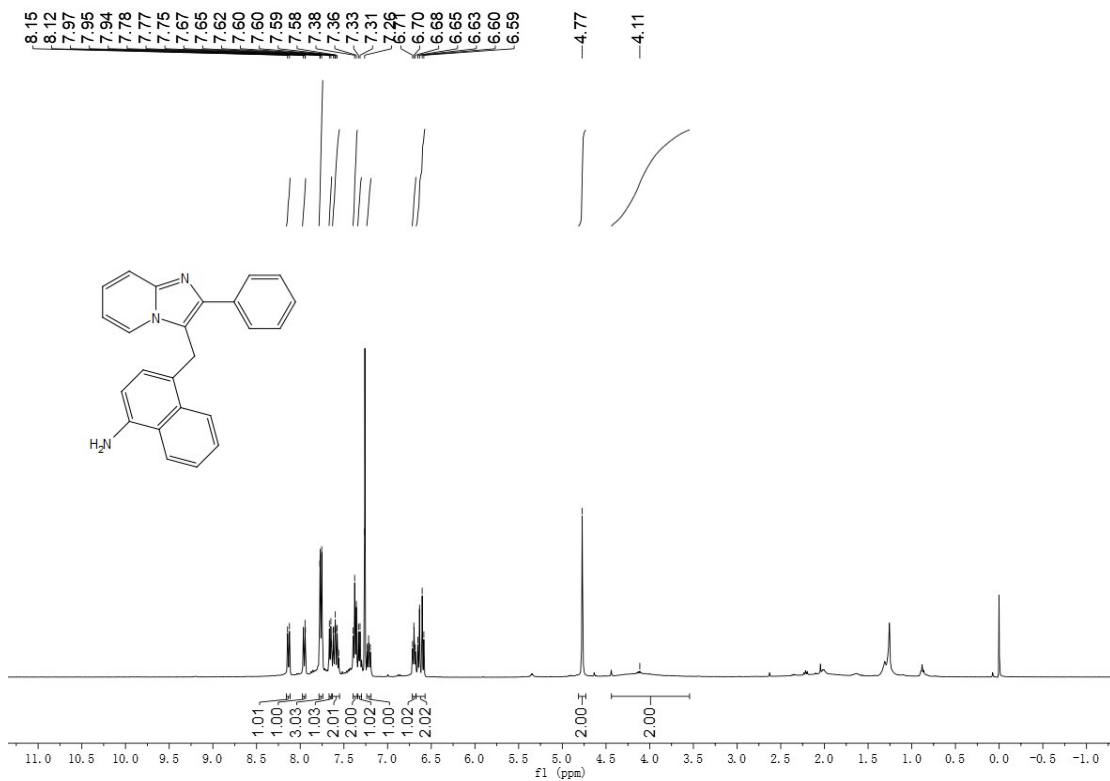
^1H NMR and ^{13}C NMR spectrum of compound **3w**



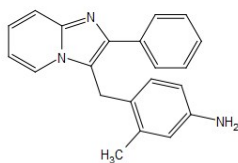
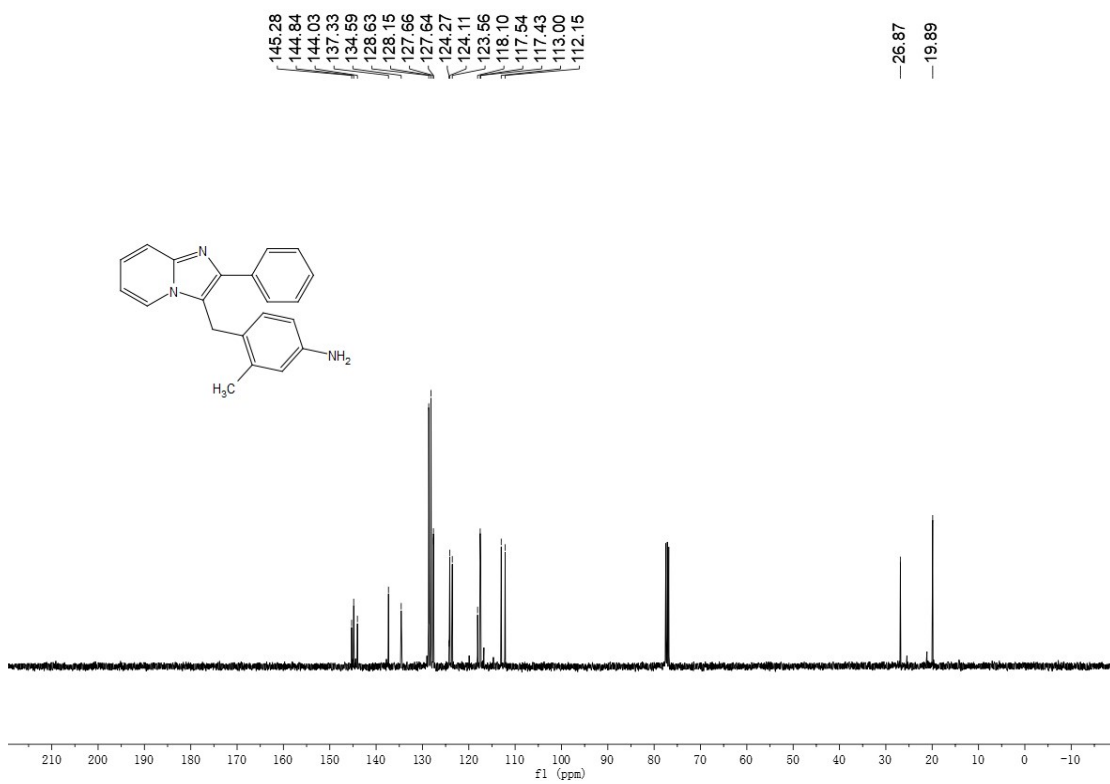
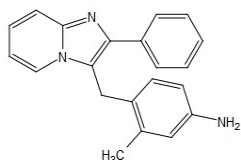
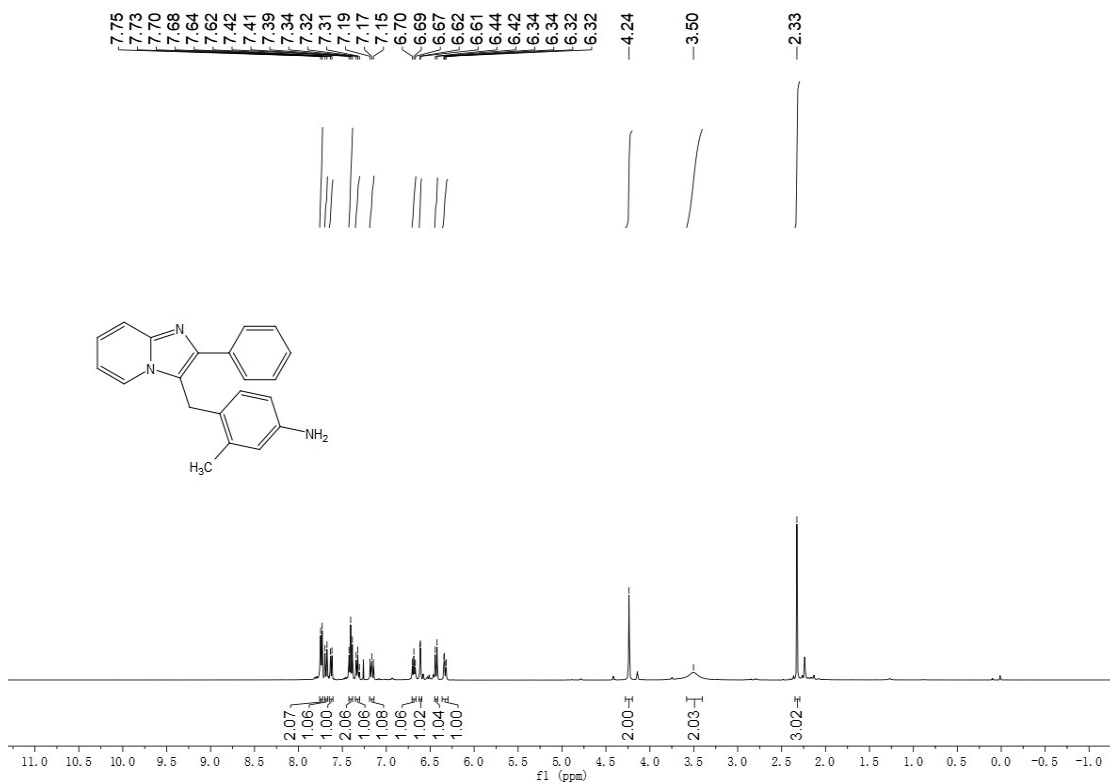
^1H NMR and ^{13}C NMR spectrum of compound **3x**



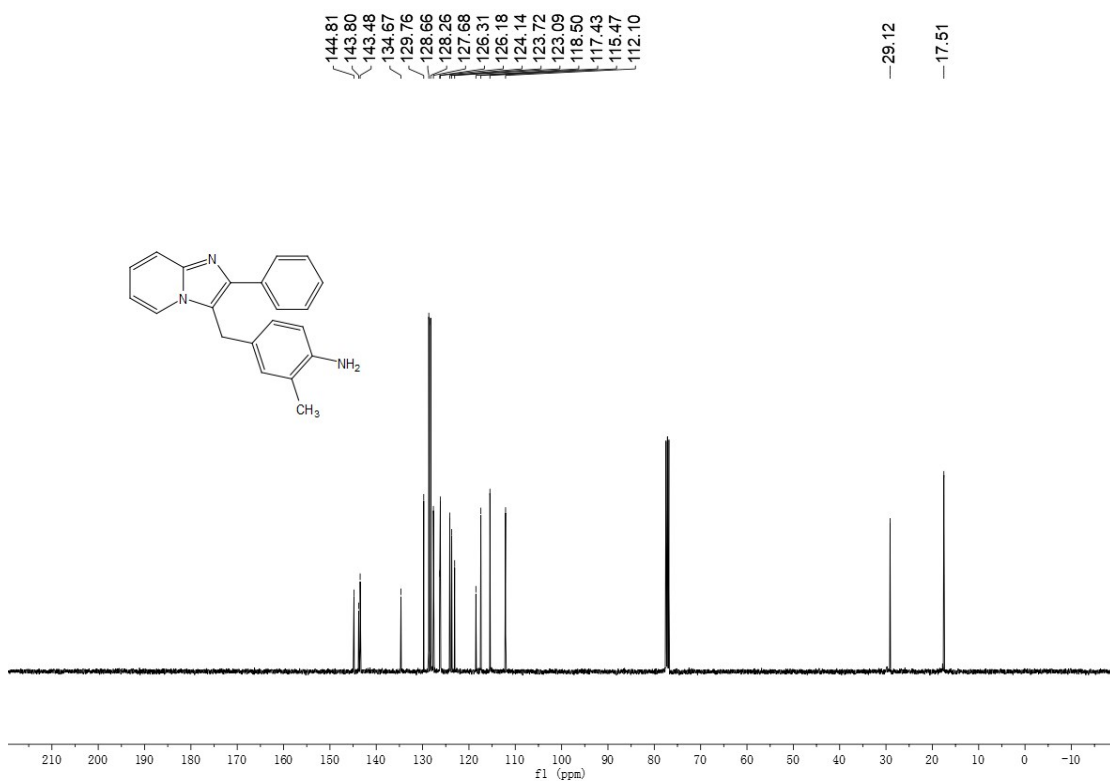
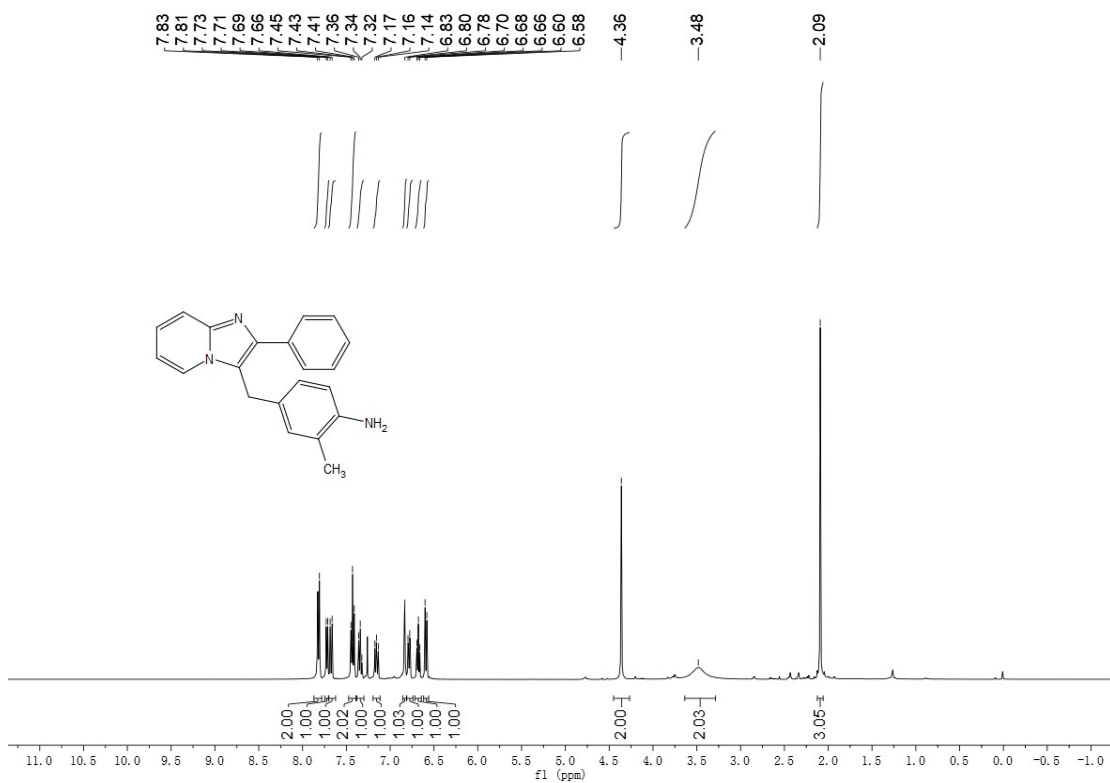
^1H NMR and ^{13}C NMR spectrum of compound **3y**



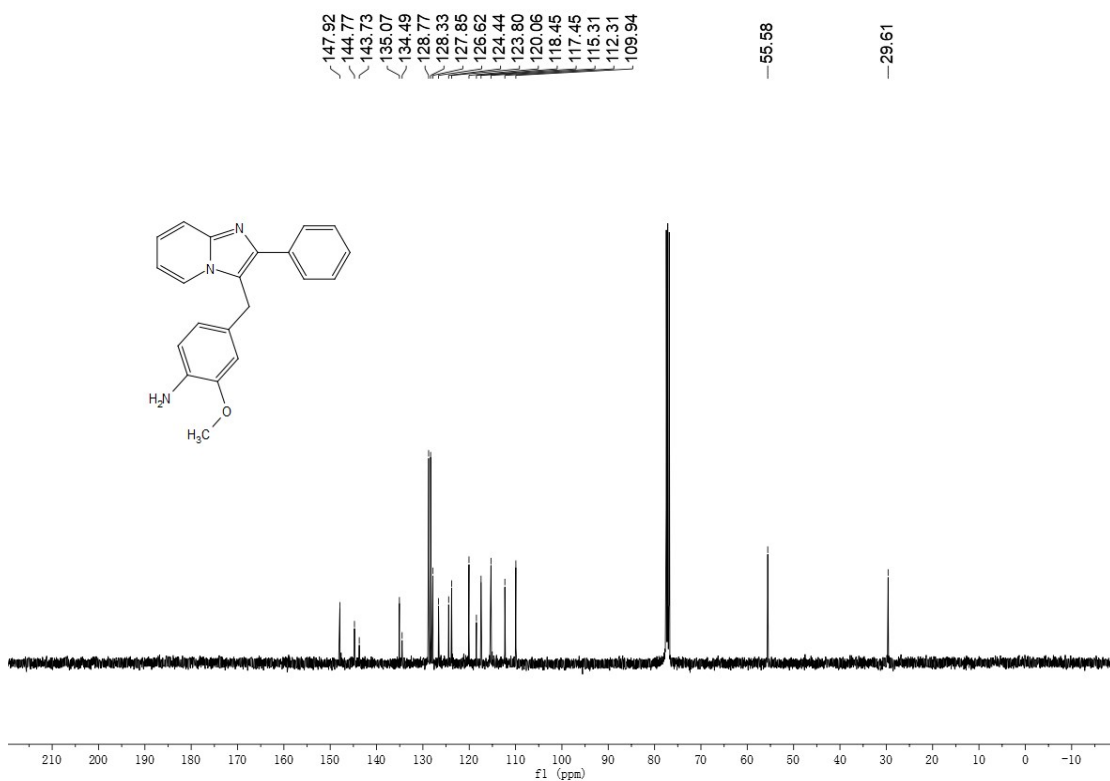
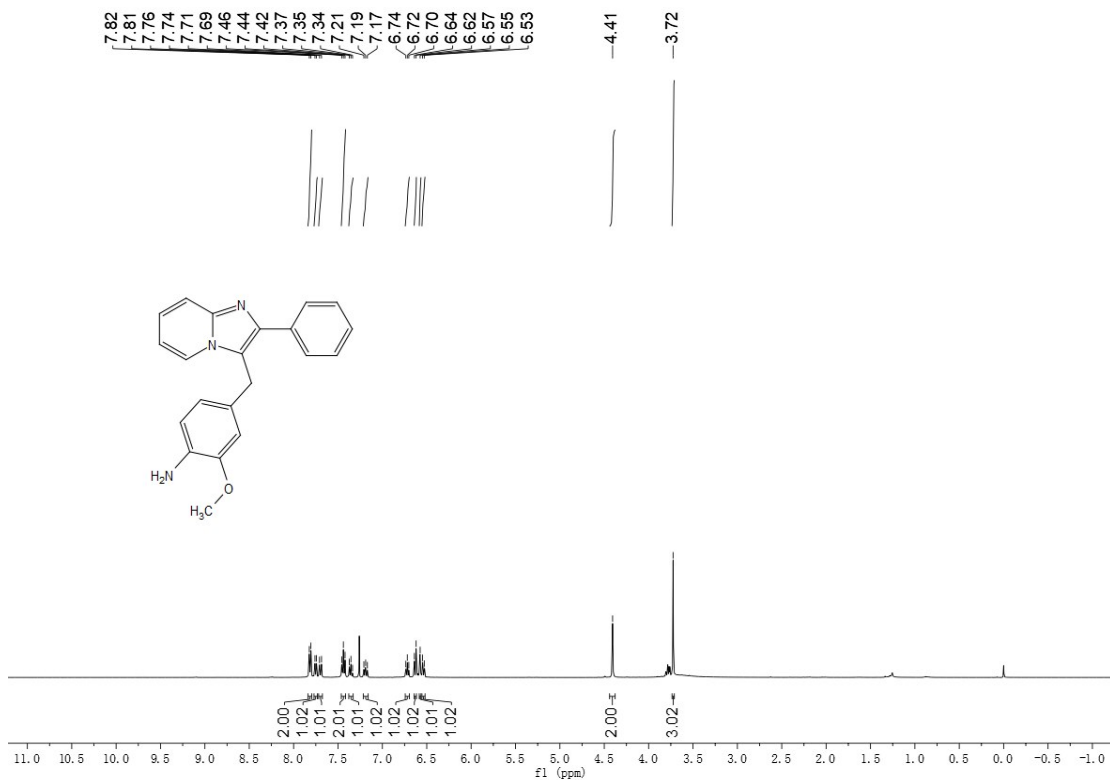
^1H NMR and ^{13}C NMR spectrum of compound **3z**



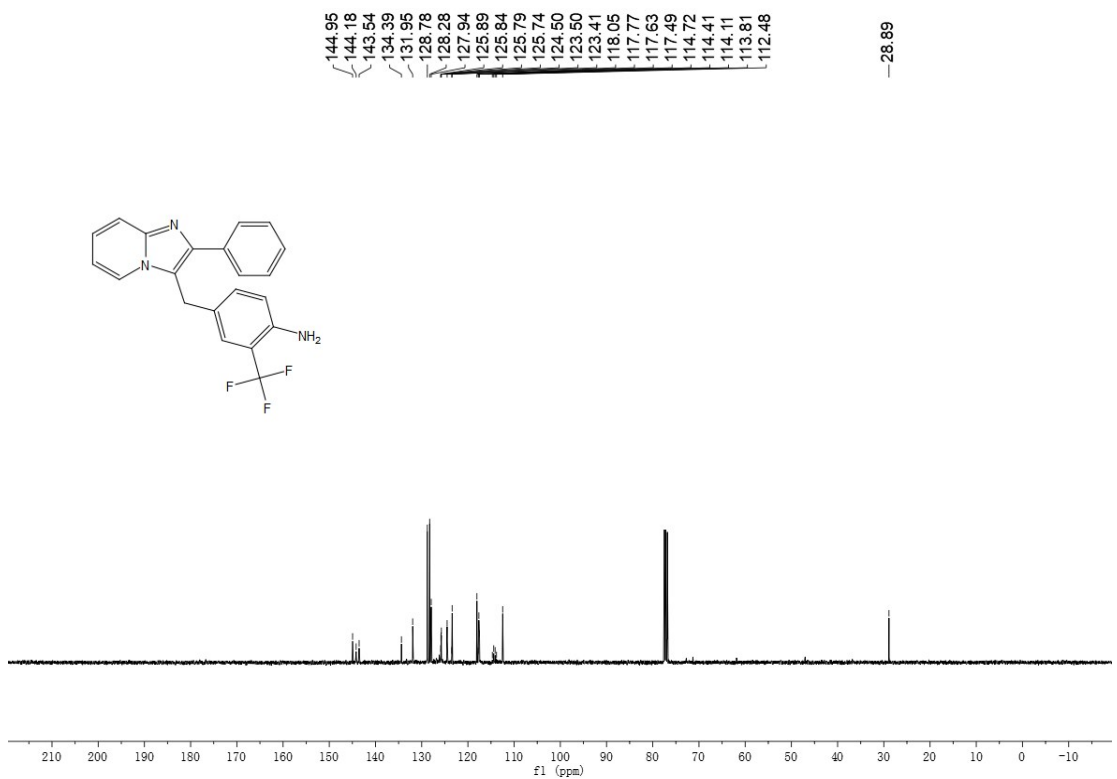
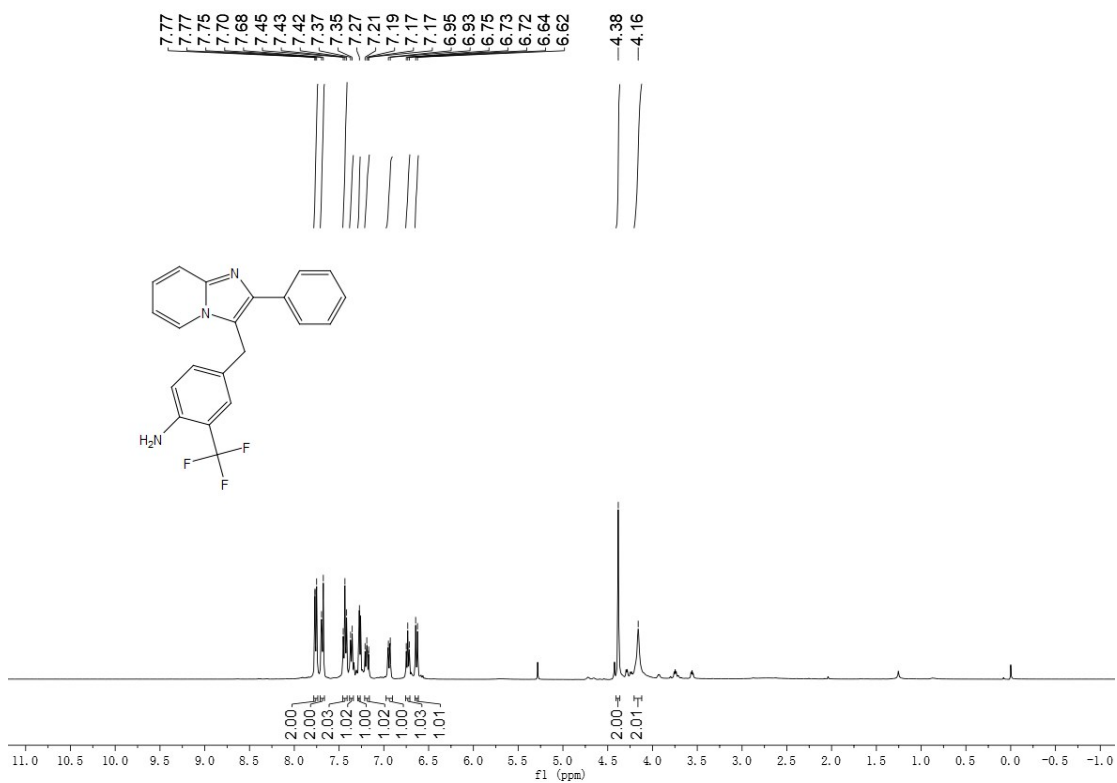
^1H NMR and ^{13}C NMR spectrum of compound **3aa**



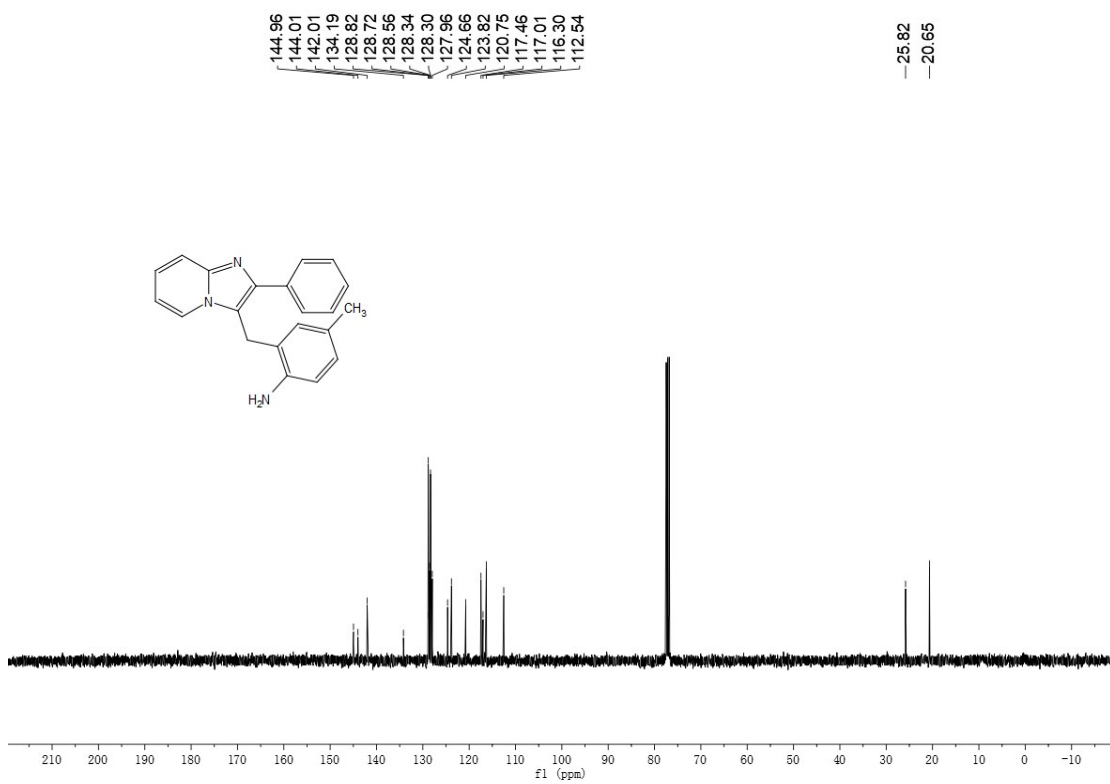
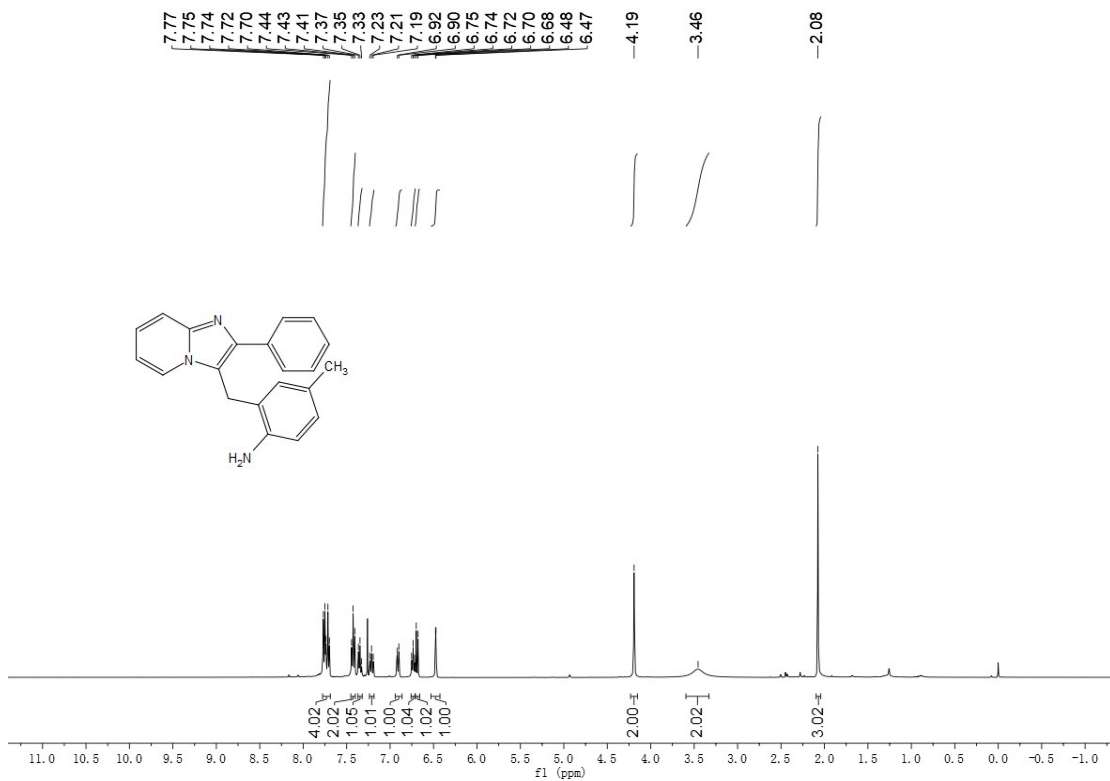
^1H NMR and ^{13}C NMR spectrum of compound **3ab**



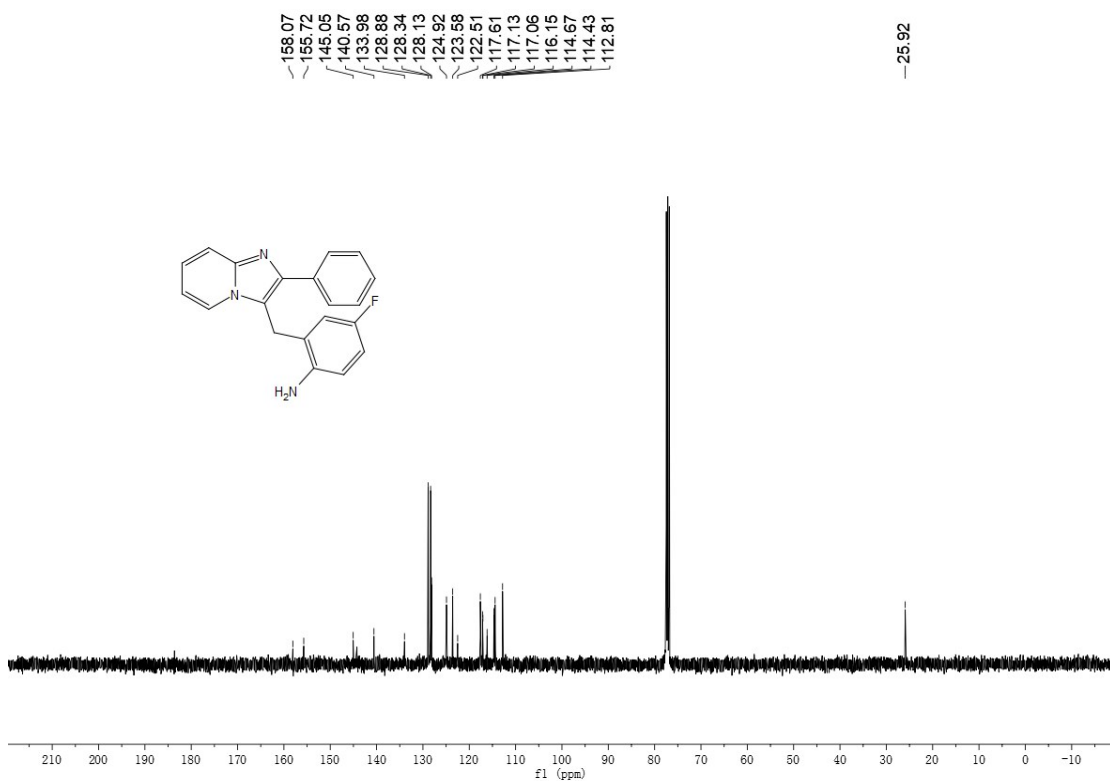
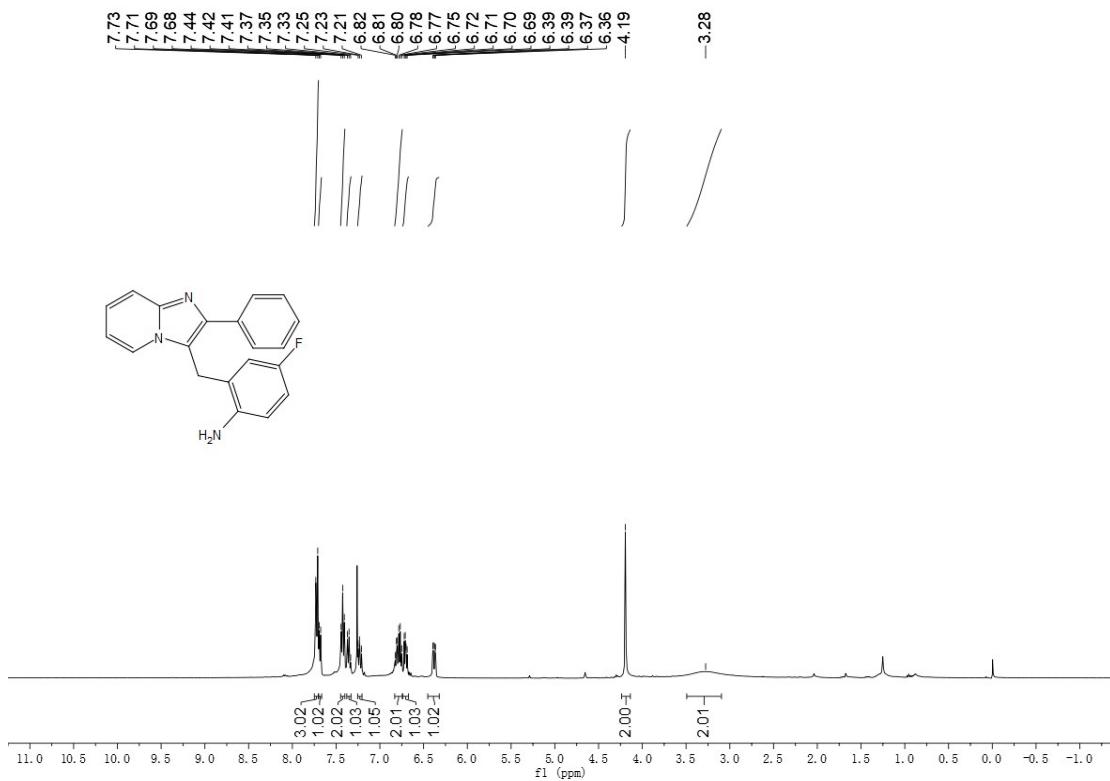
^1H NMR and ^{13}C NMR spectrum of compound **3ac**



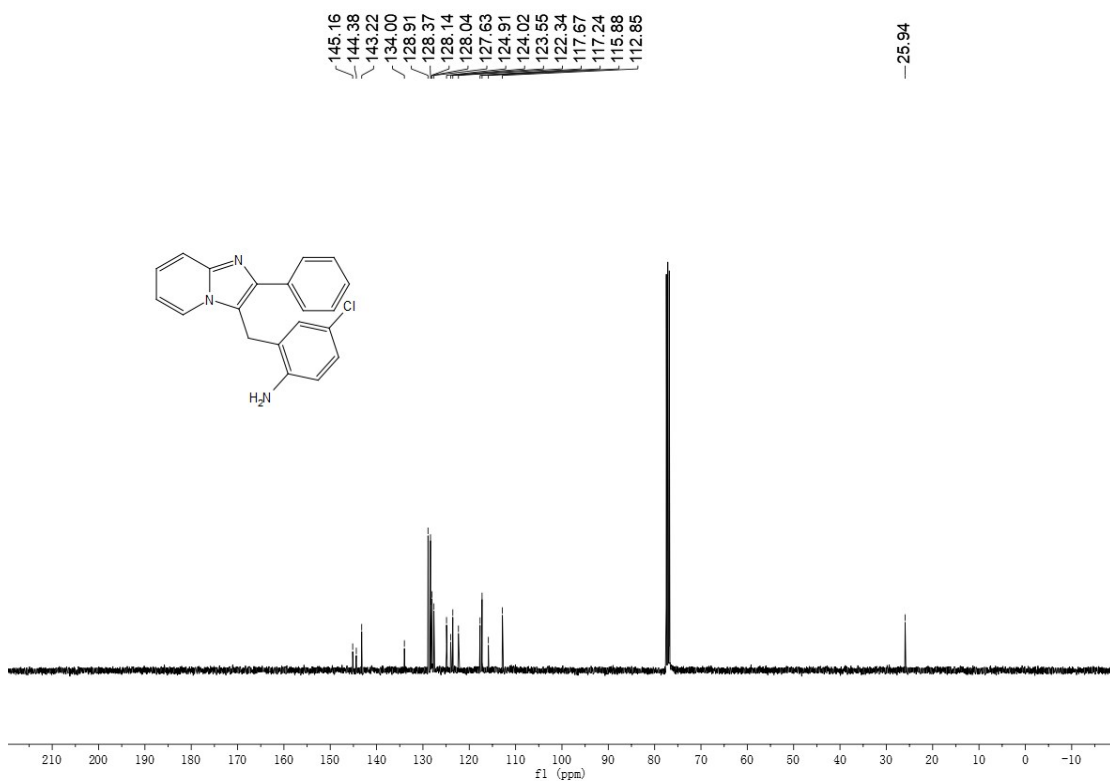
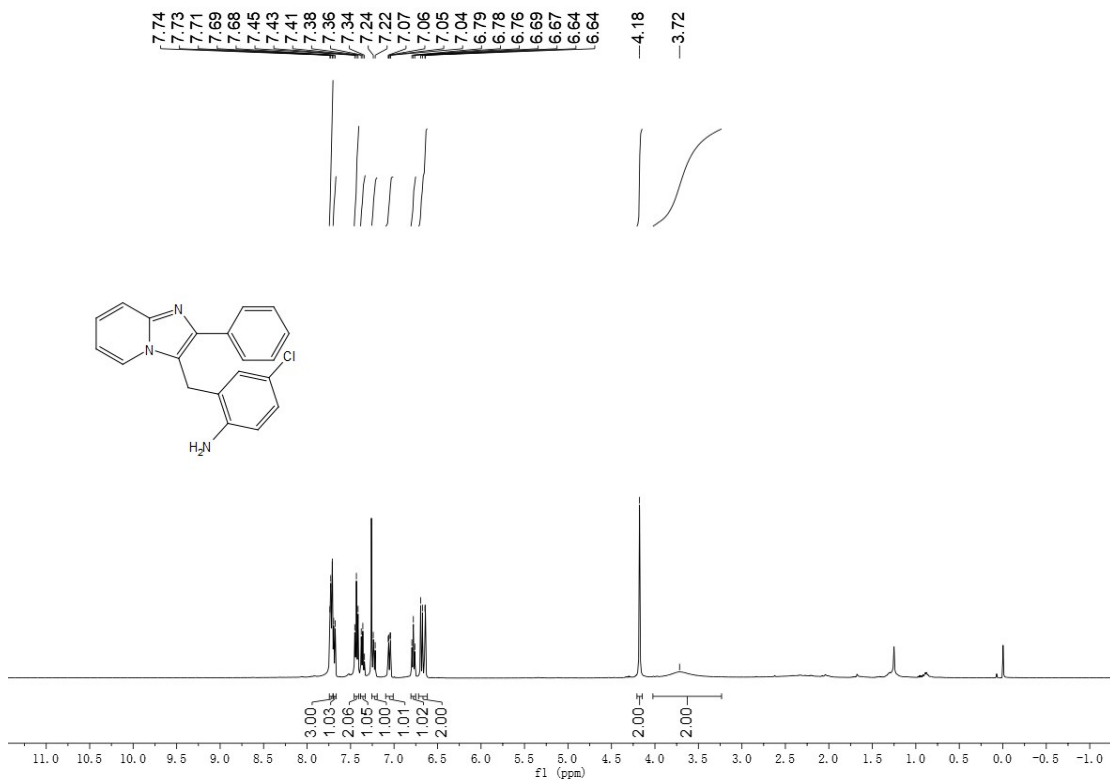
^1H NMR and ^{13}C NMR spectrum of compound **3ad**



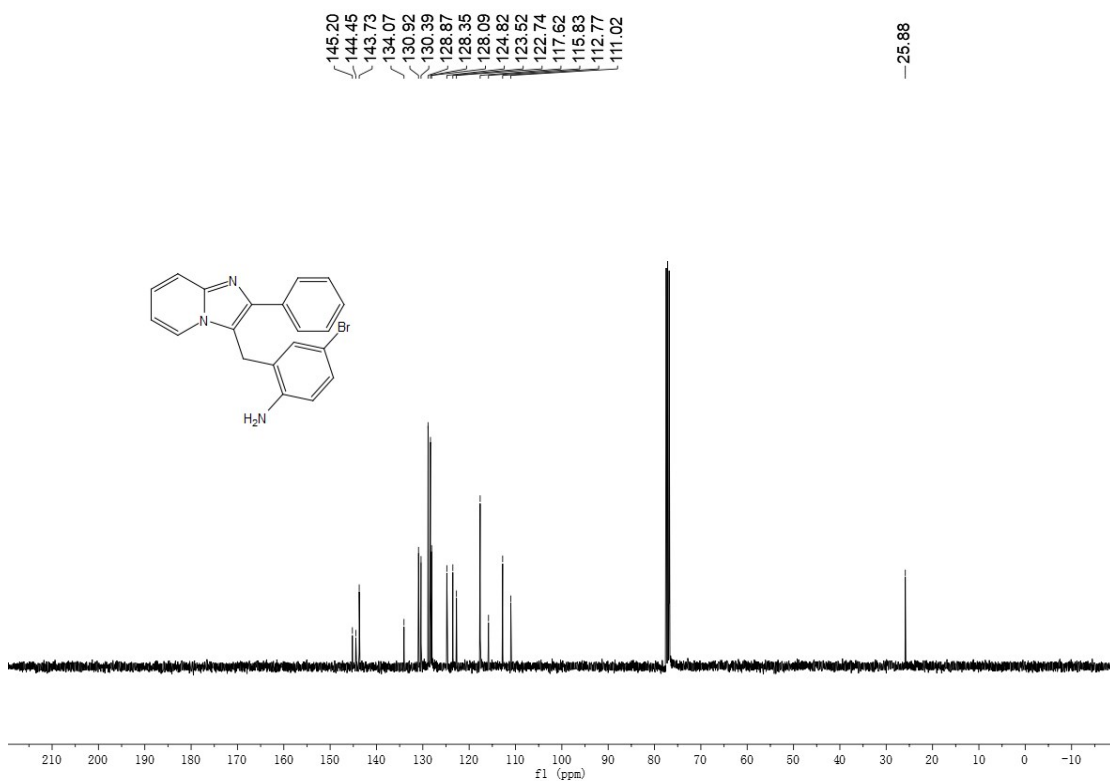
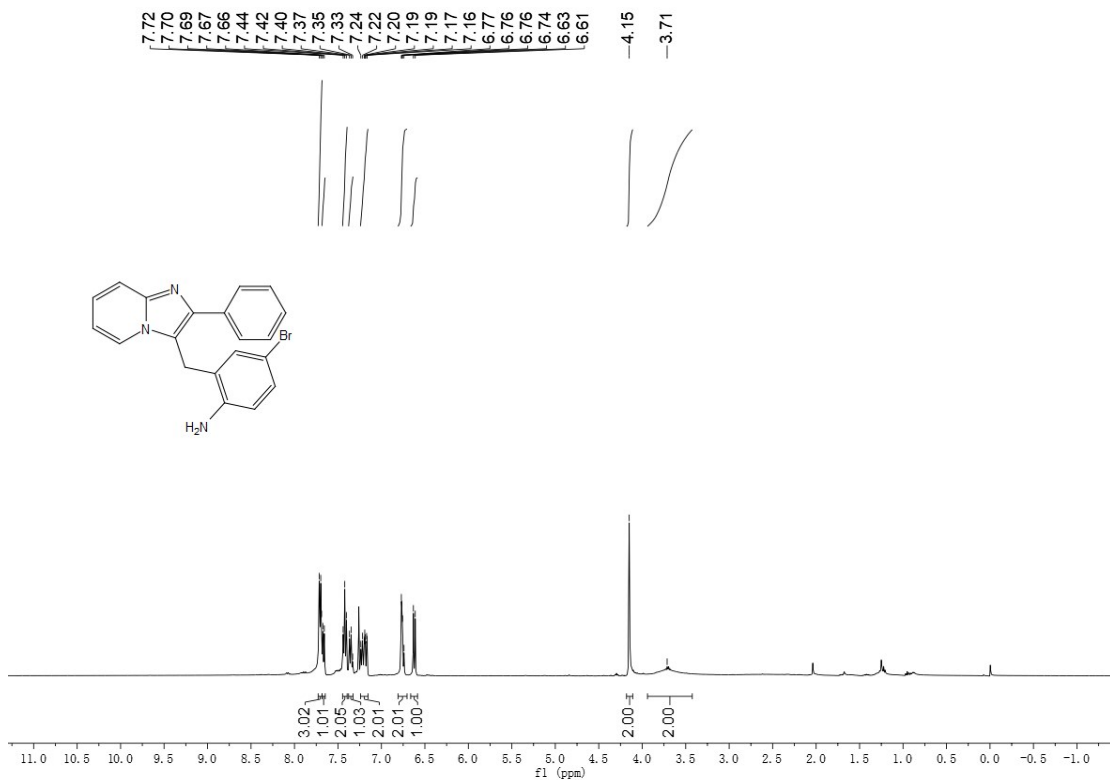
^1H NMR and ^{13}C NMR spectrum of compound **3ae**



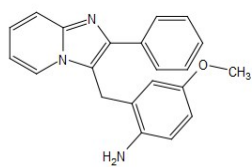
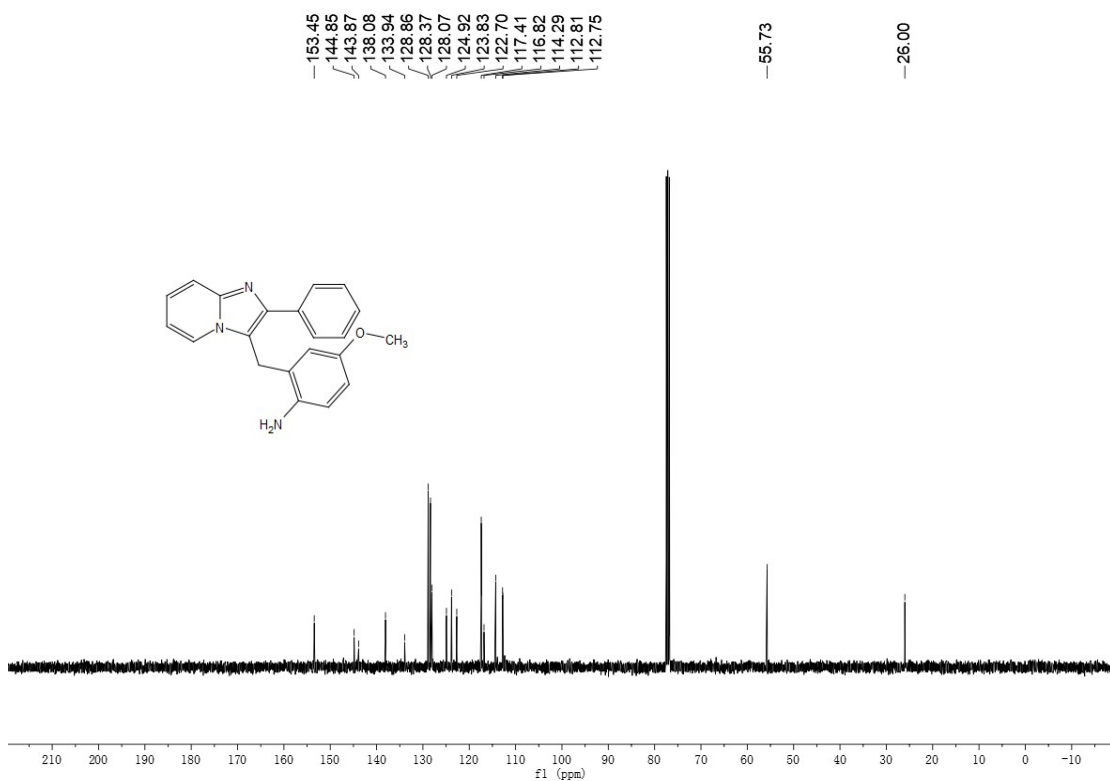
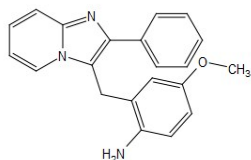
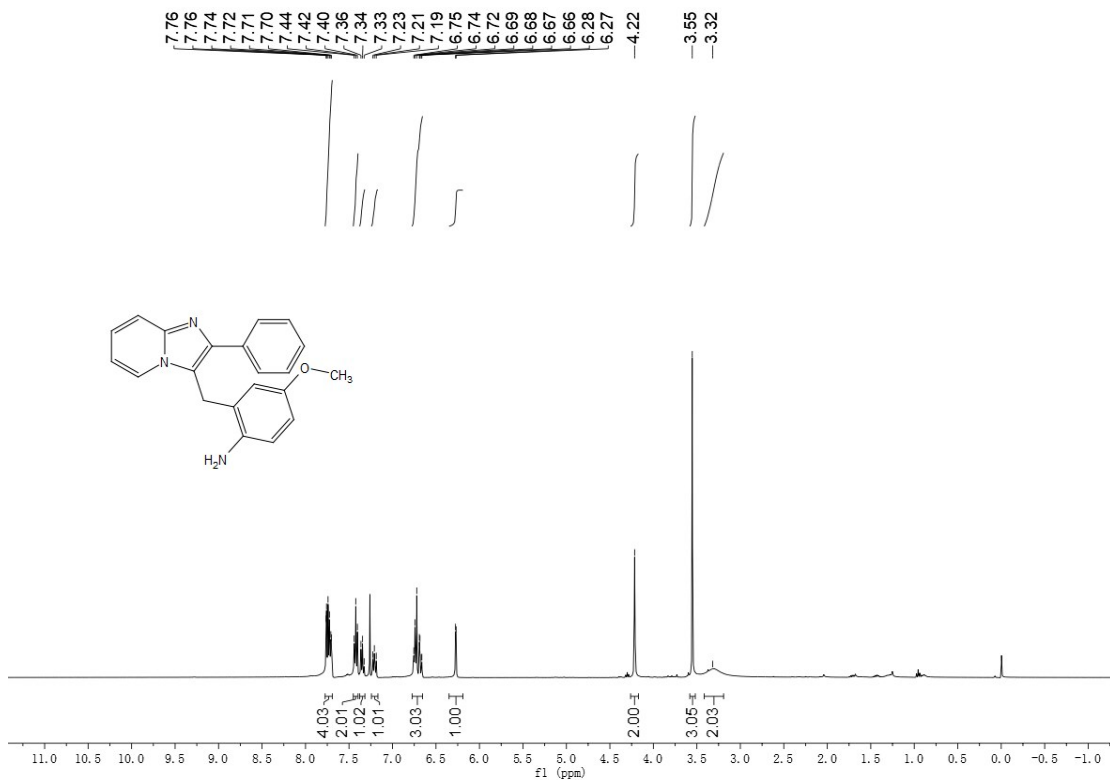
^1H NMR and ^{13}C NMR spectrum of compound **3af**



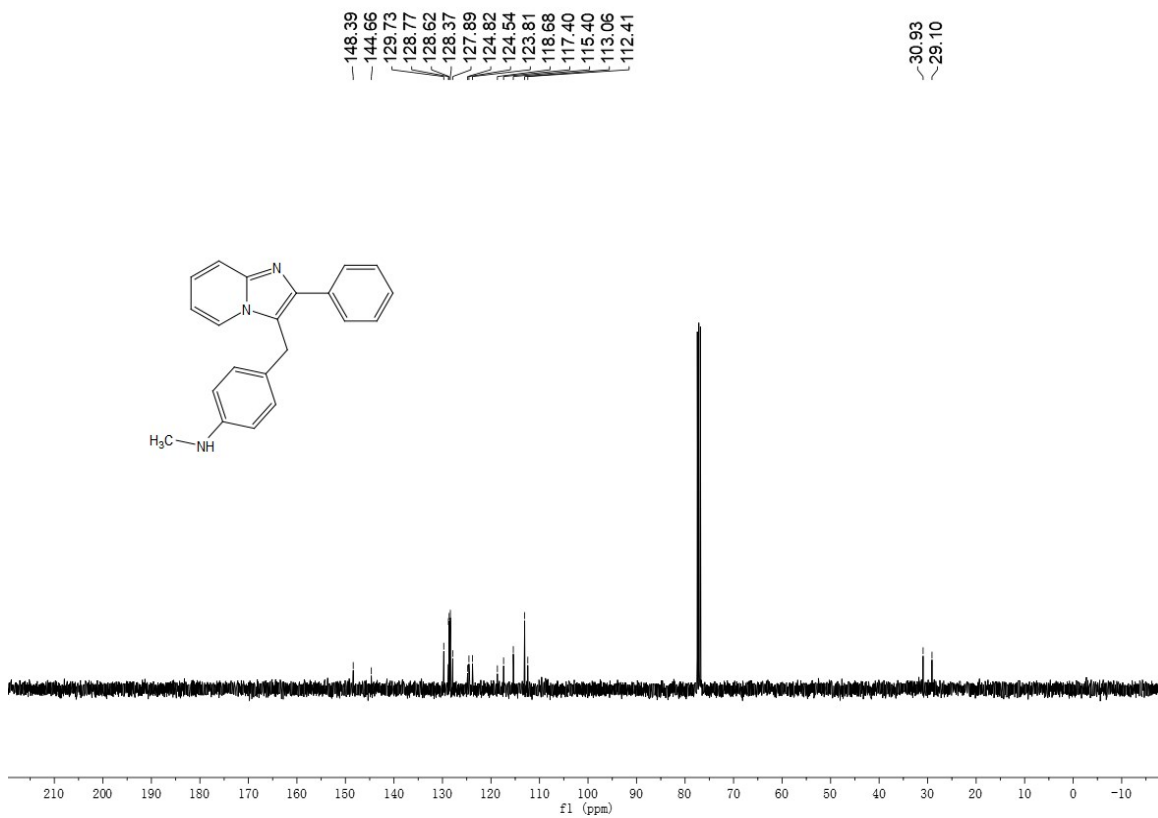
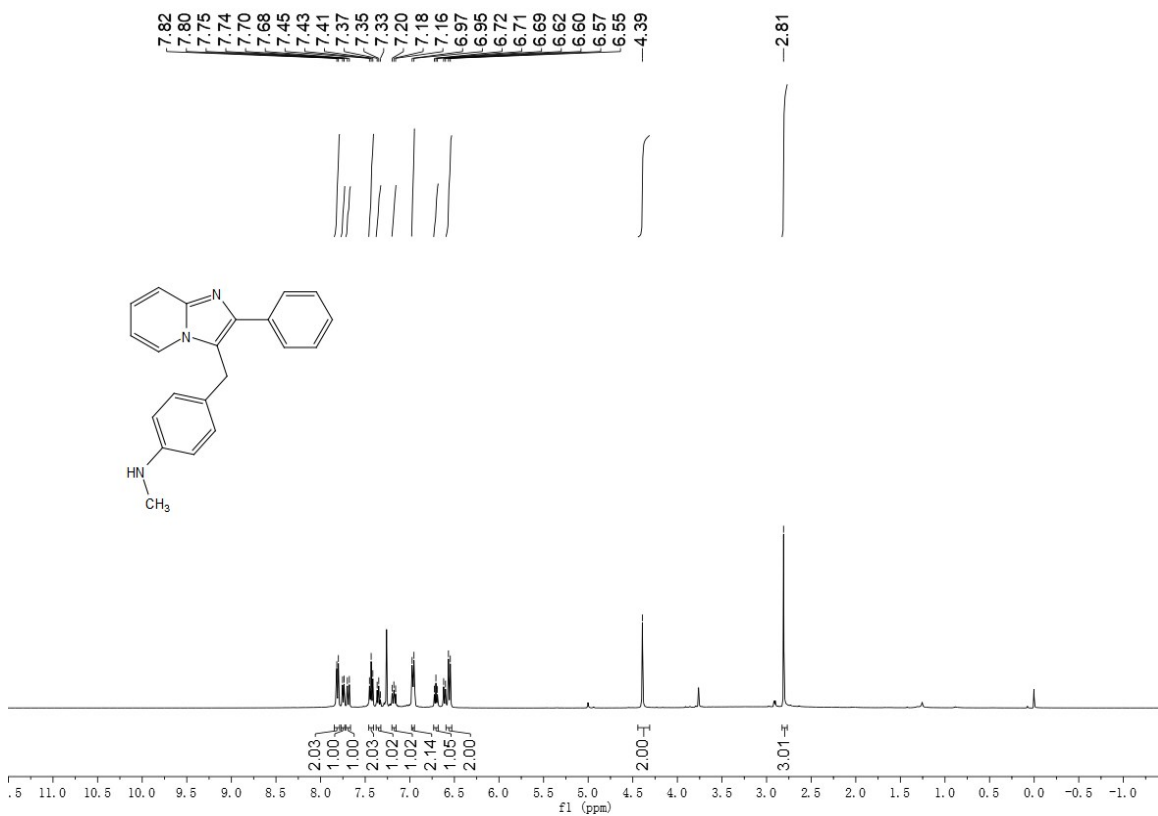
^1H NMR and ^{13}C NMR spectrum of compound **3ag**



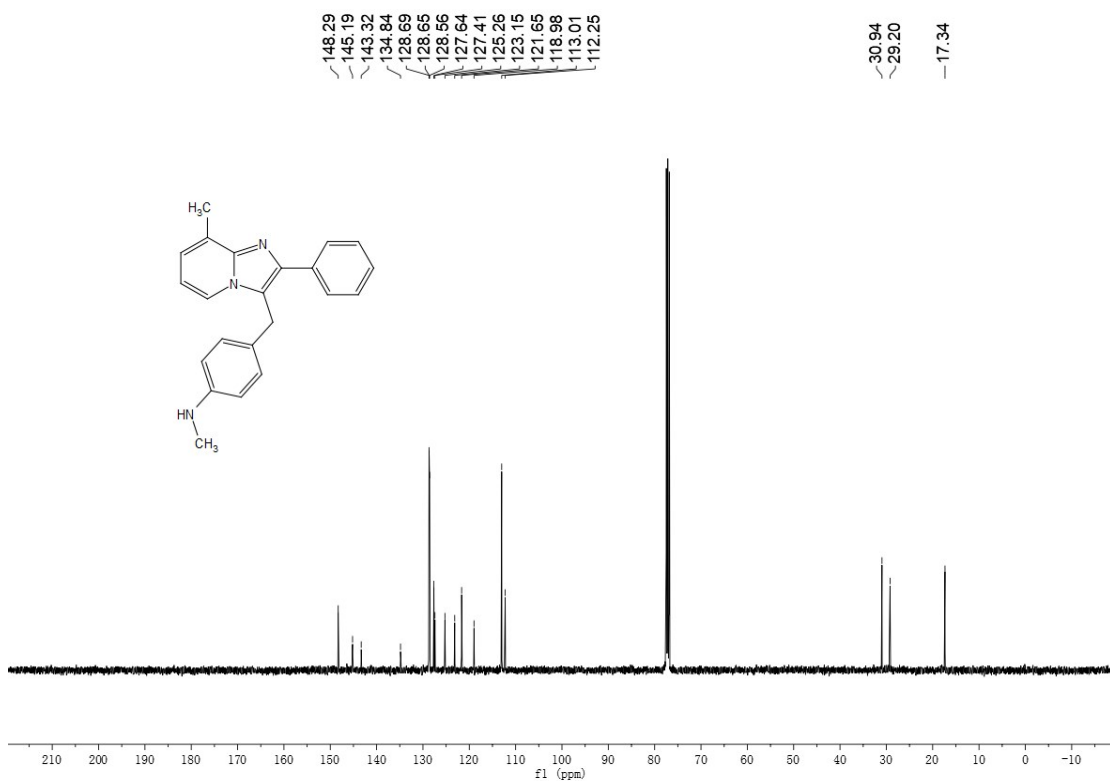
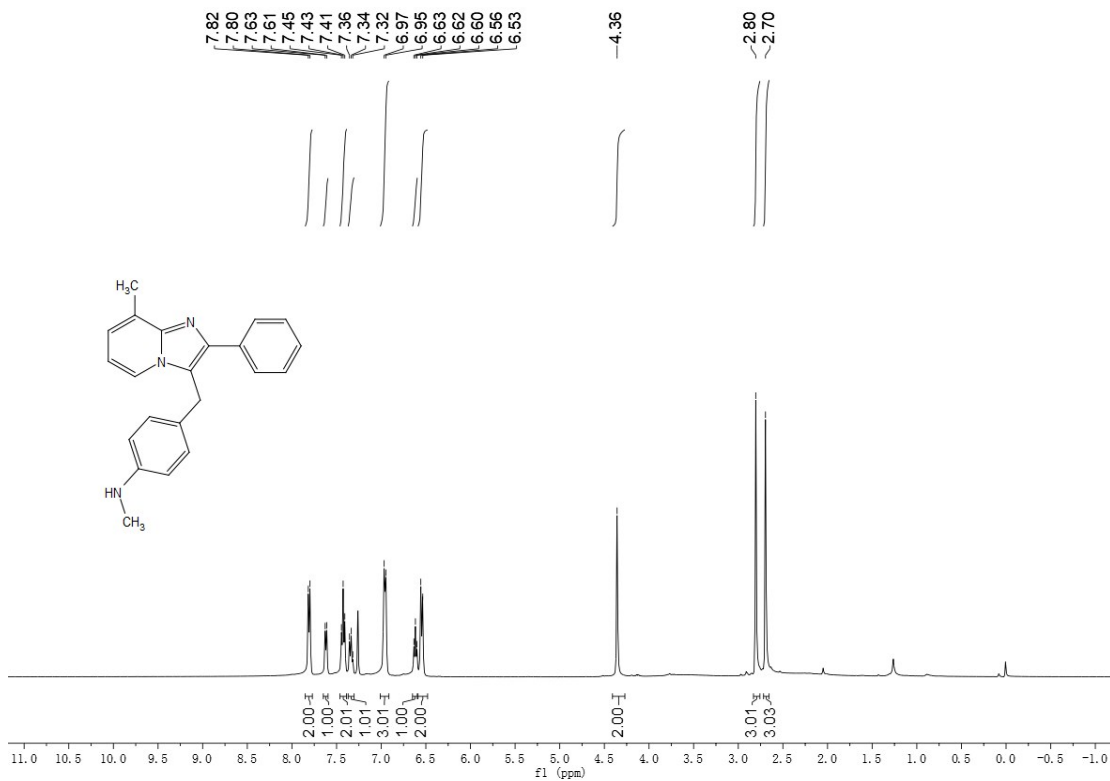
^1H NMR and ^{13}C NMR spectrum of compound **3ah**



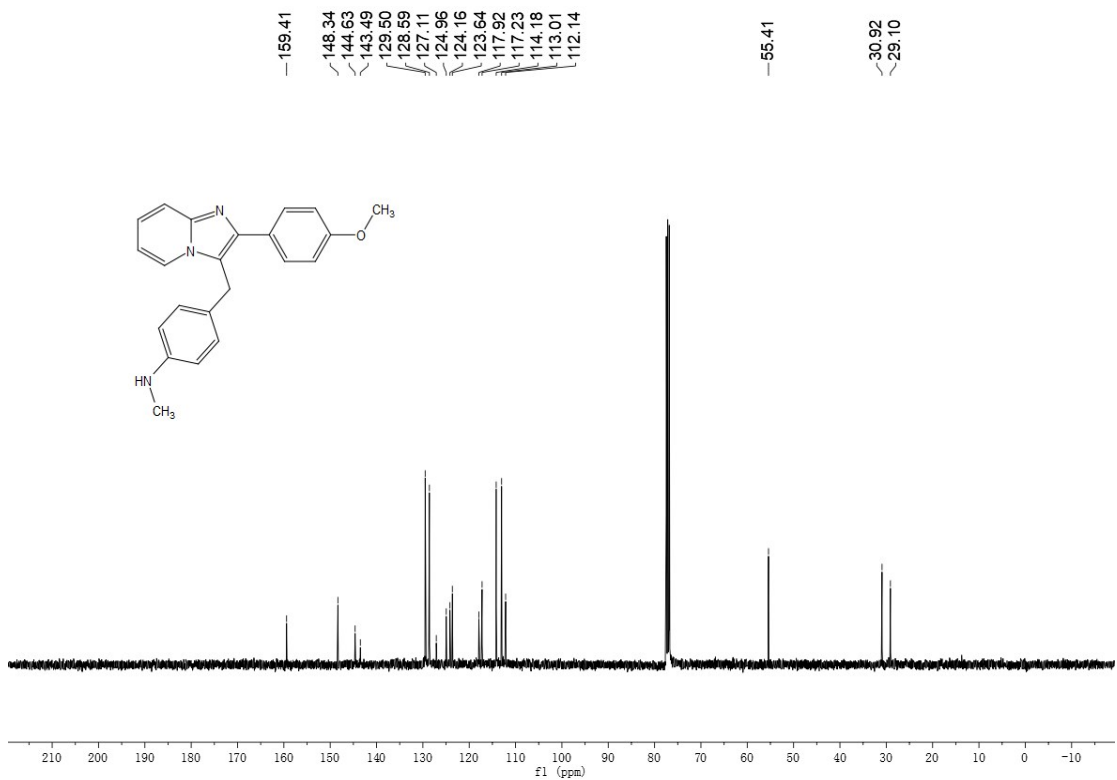
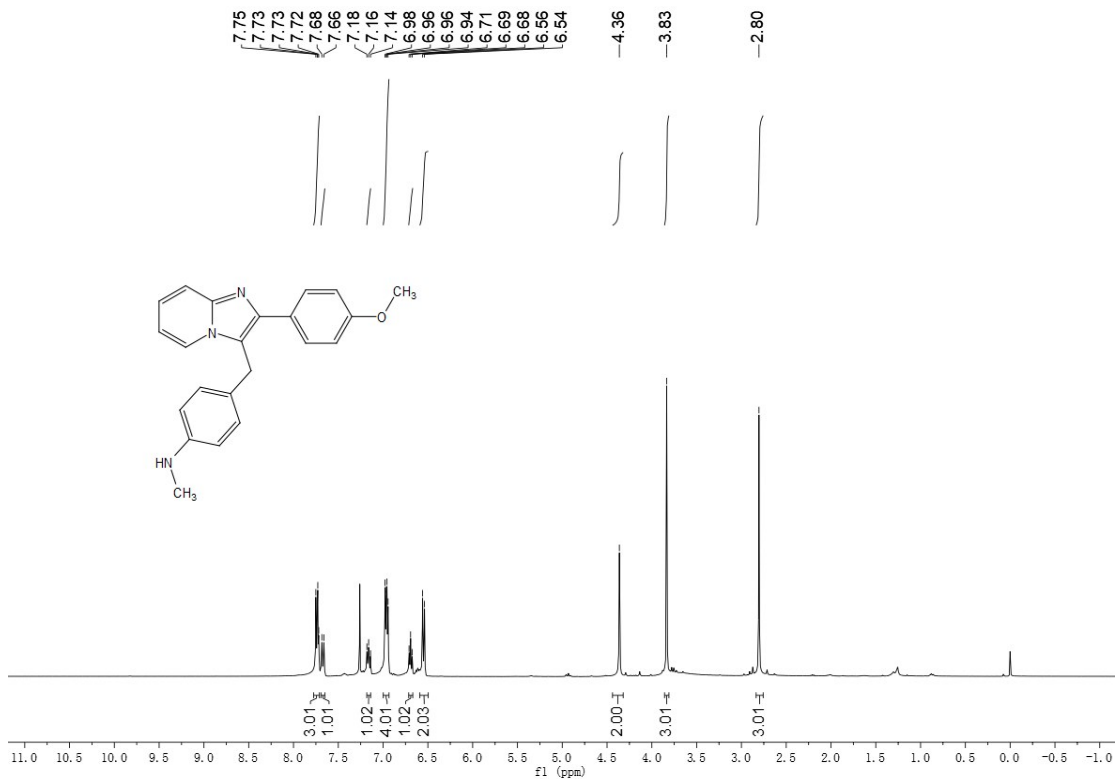
^1H NMR and ^{13}C NMR spectrum of compound **4a**



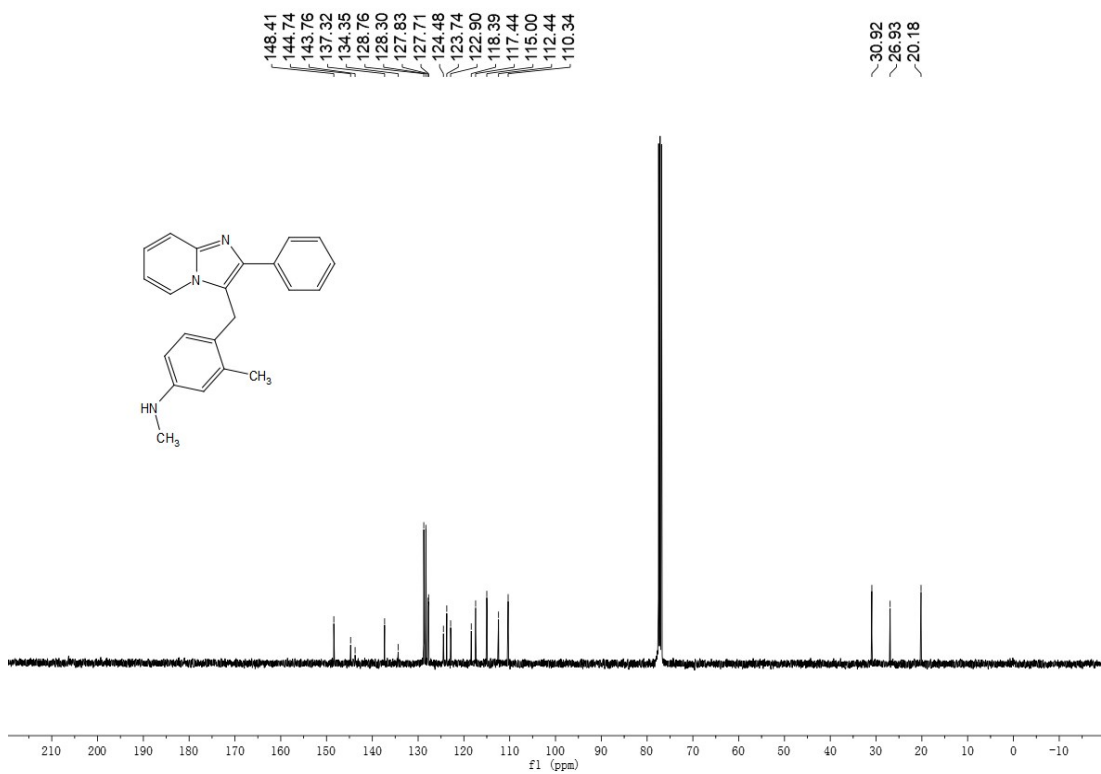
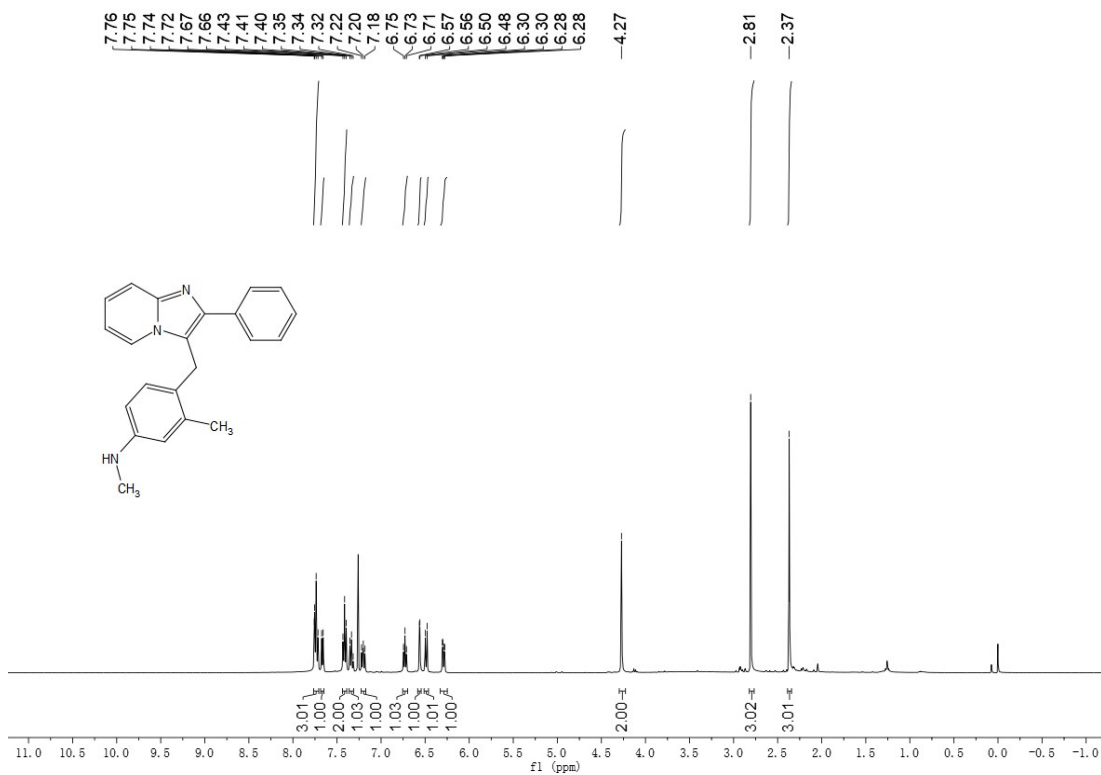
^1H NMR and ^{13}C NMR spectrum of compound **4b**



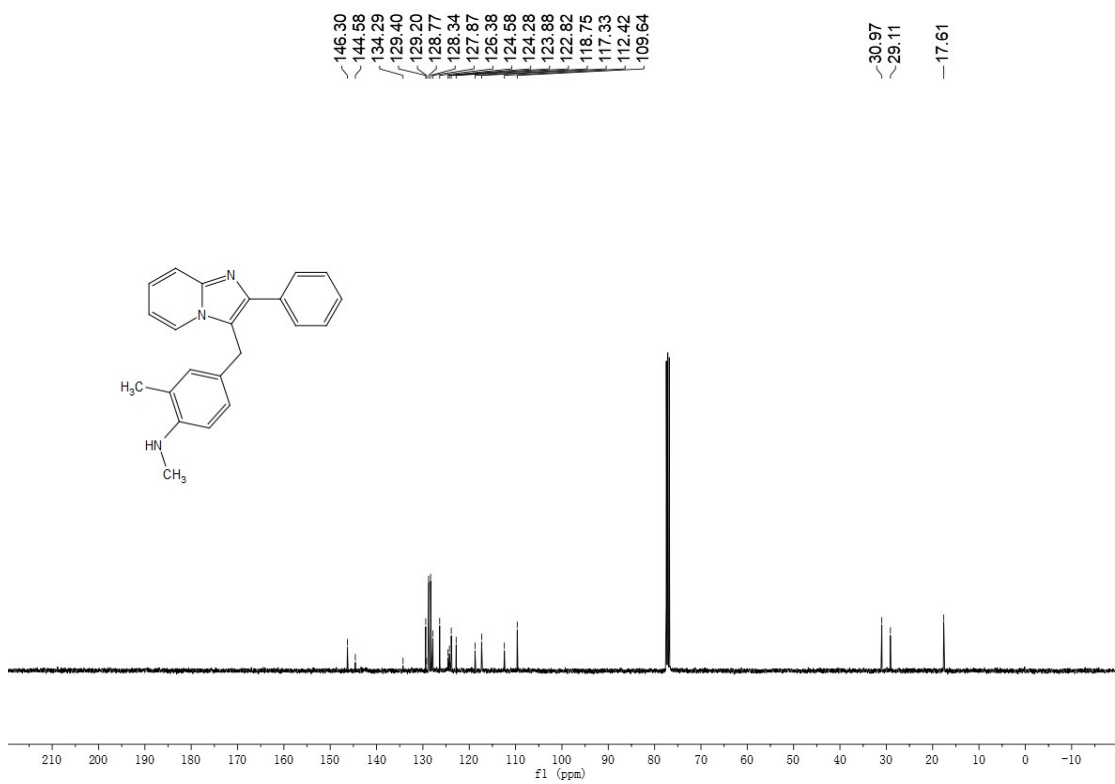
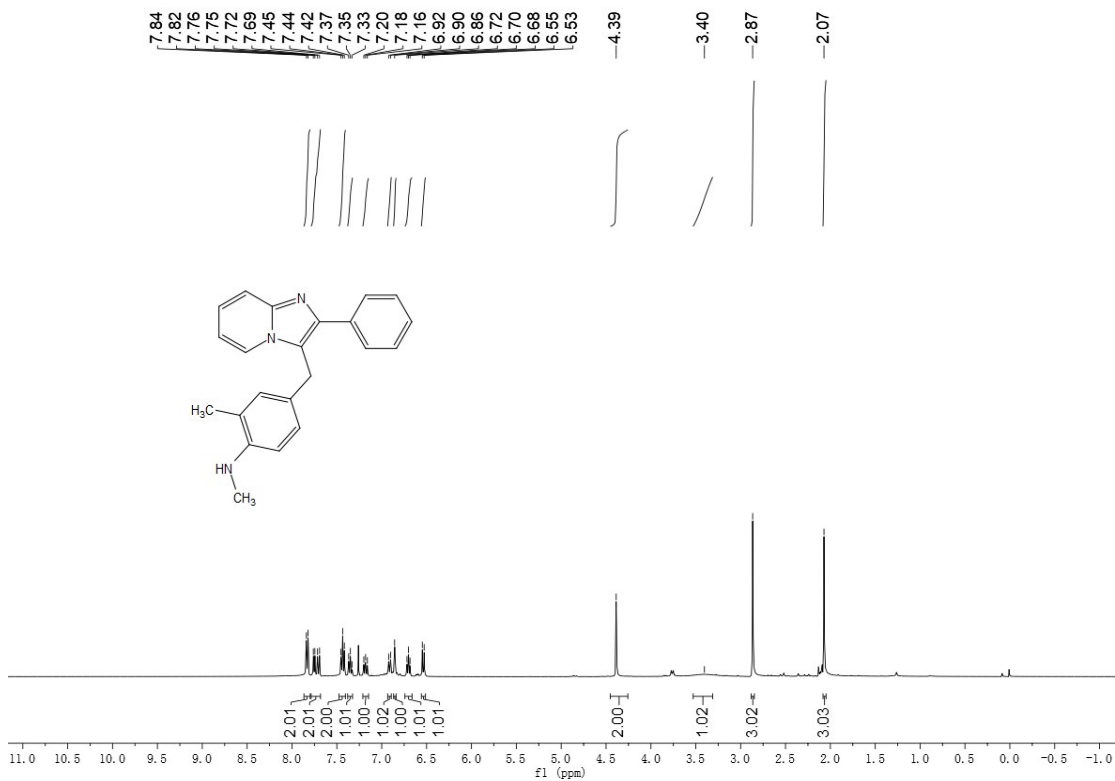
^1H NMR and ^{13}C NMR spectrum of compound **4c**



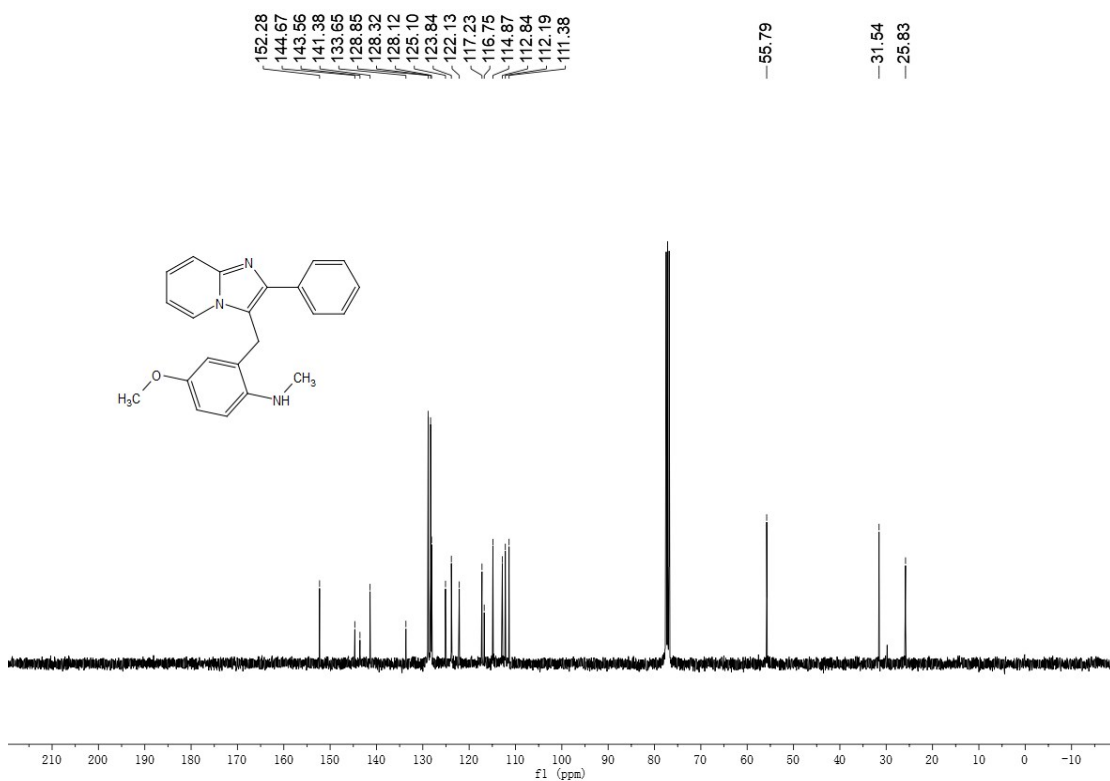
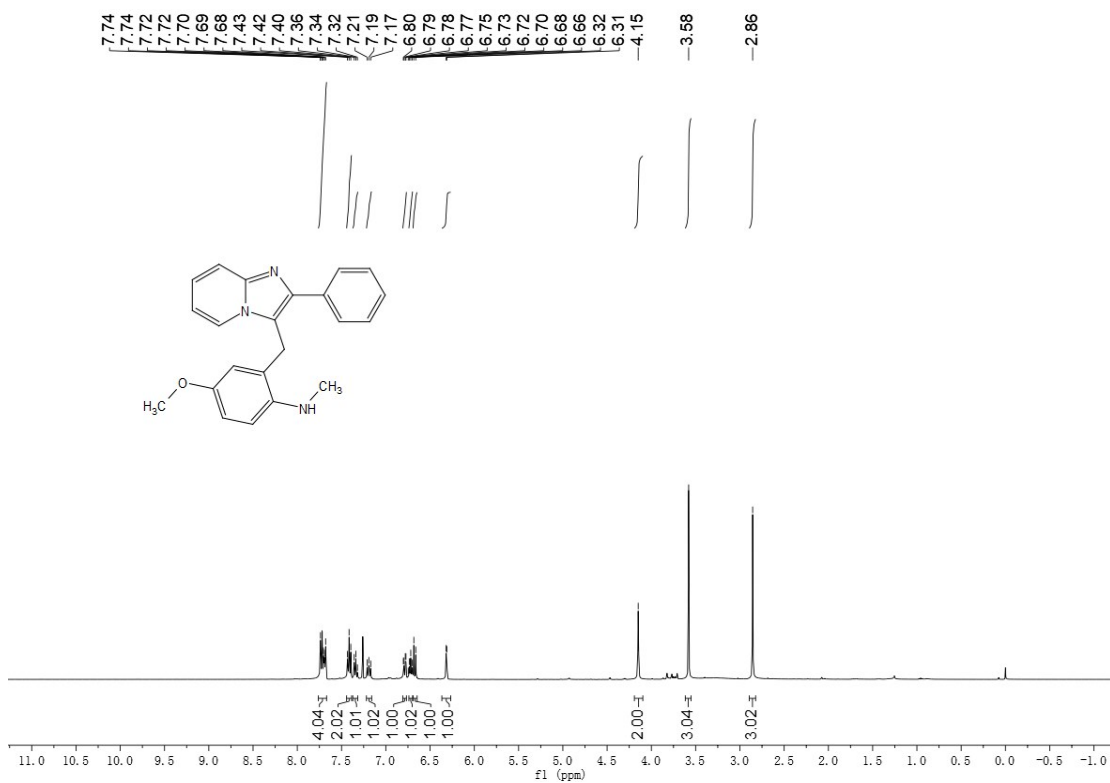
^1H NMR and ^{13}C NMR spectrum of compound **4e**



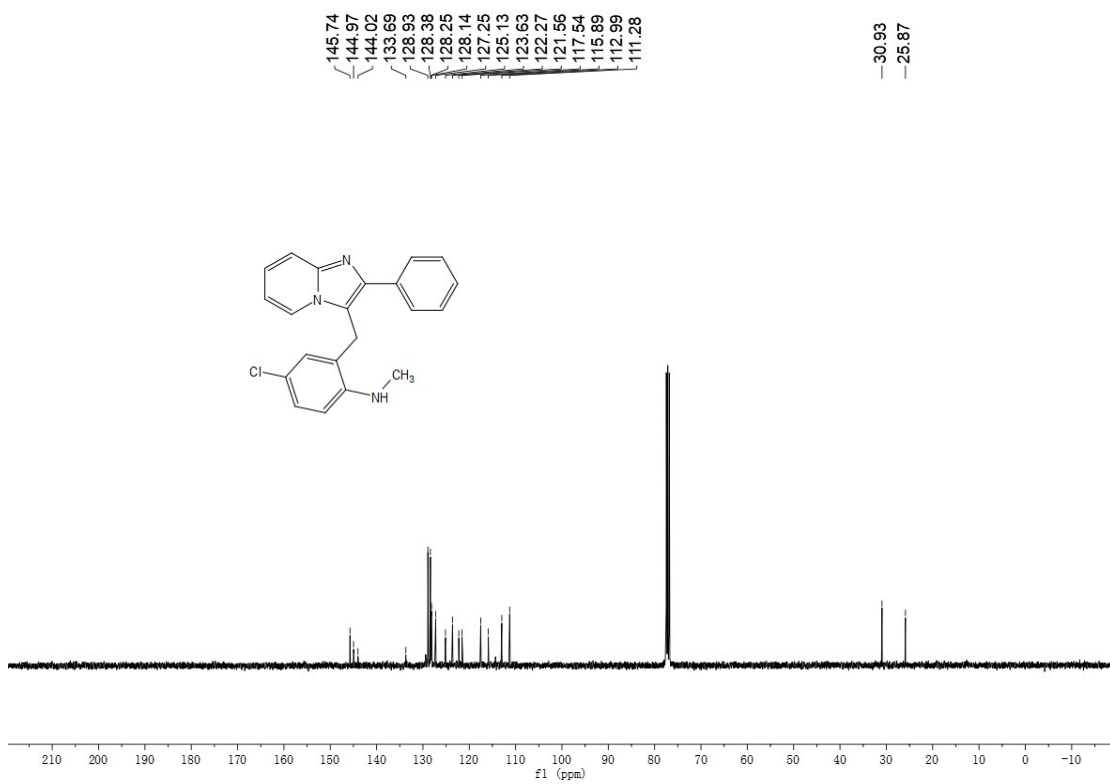
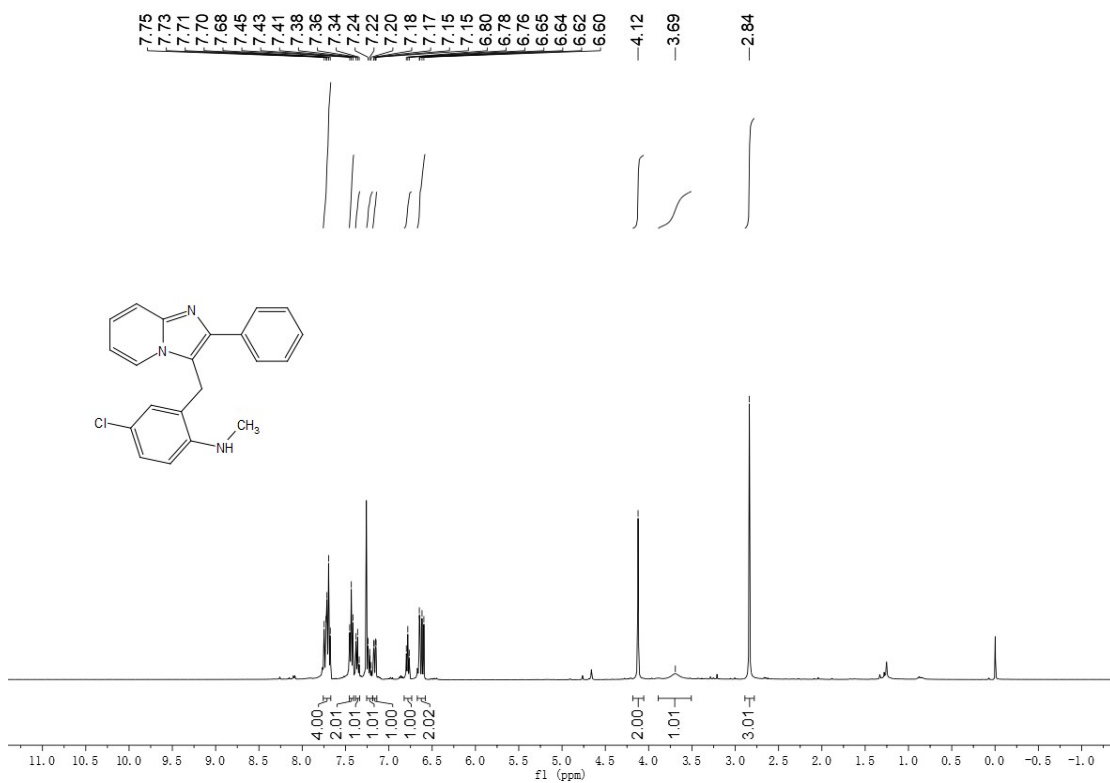
^1H NMR and ^{13}C NMR spectrum of compound **4f**



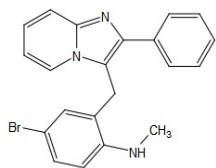
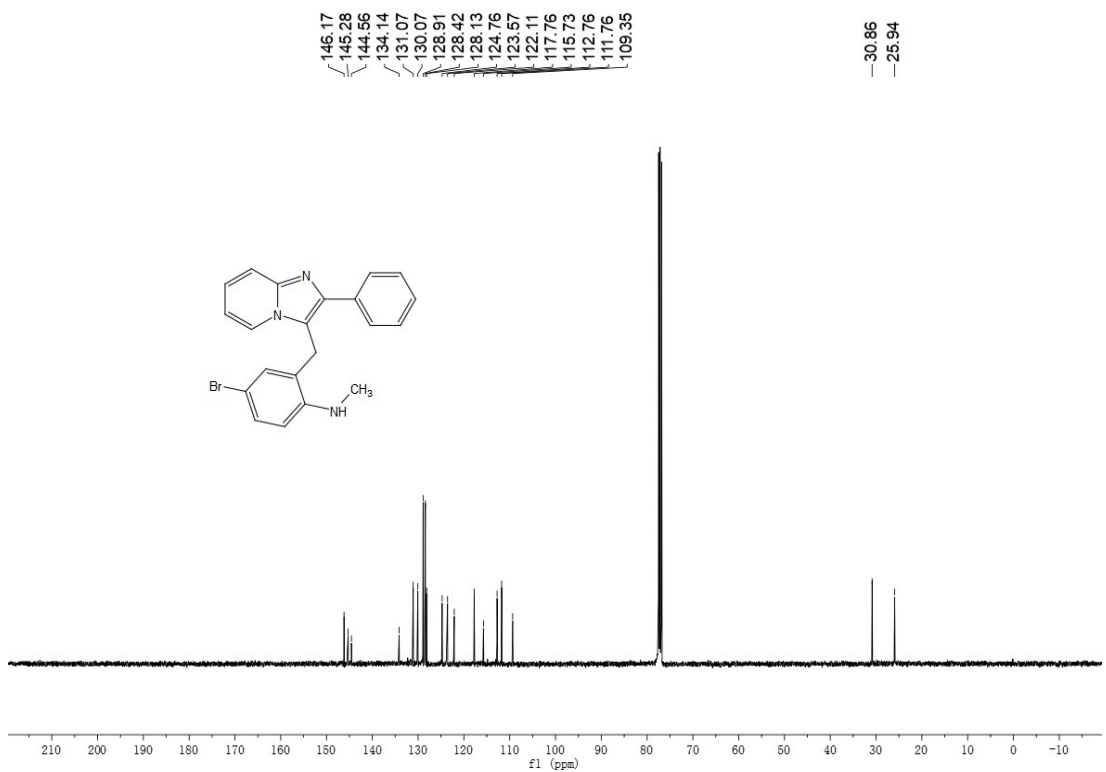
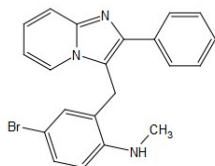
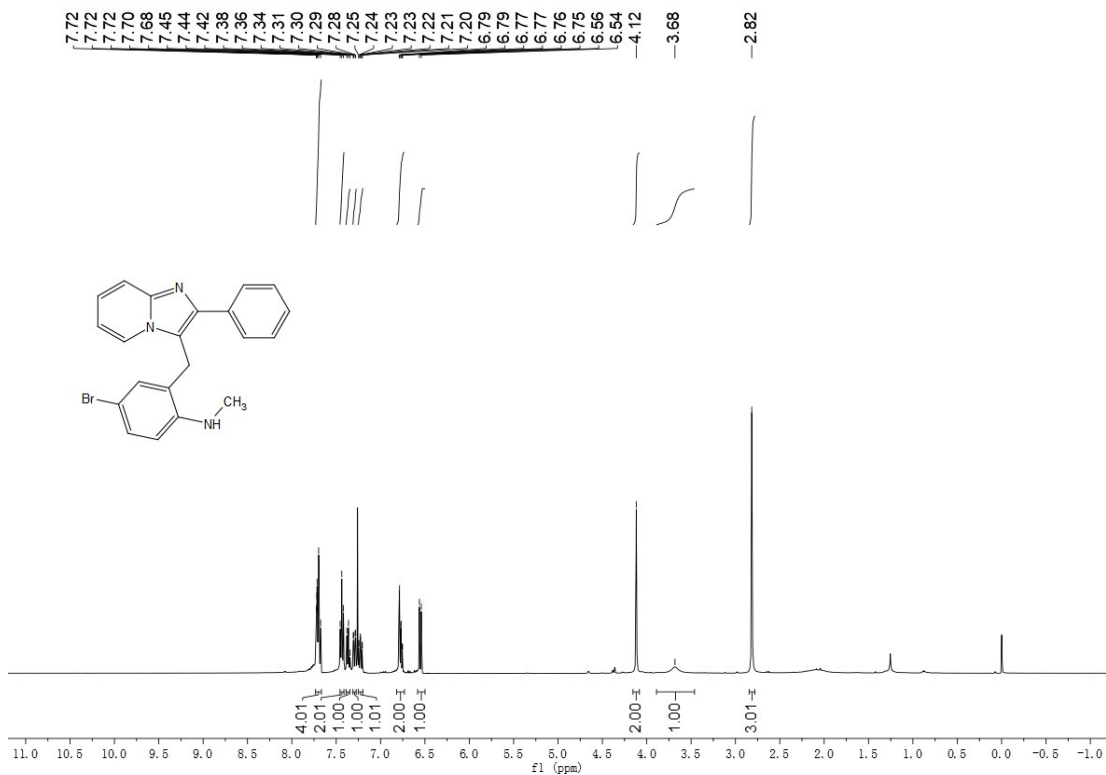
^1H NMR and ^{13}C NMR spectrum of compound **4g**



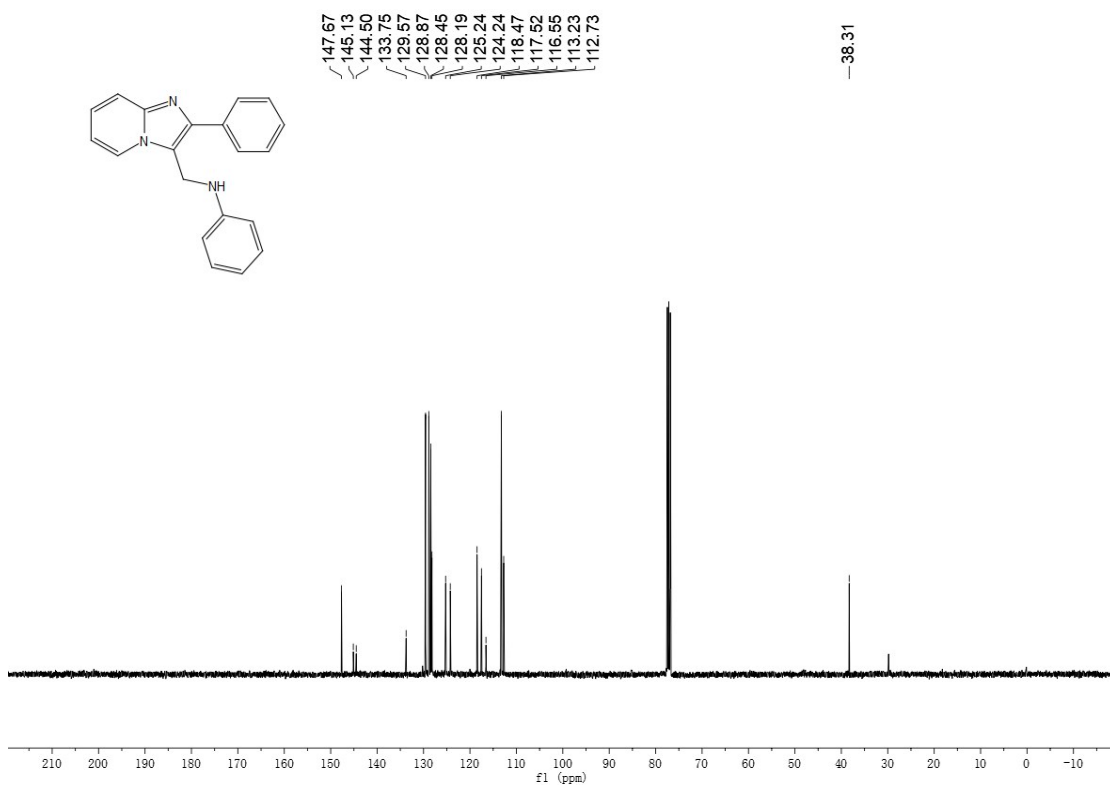
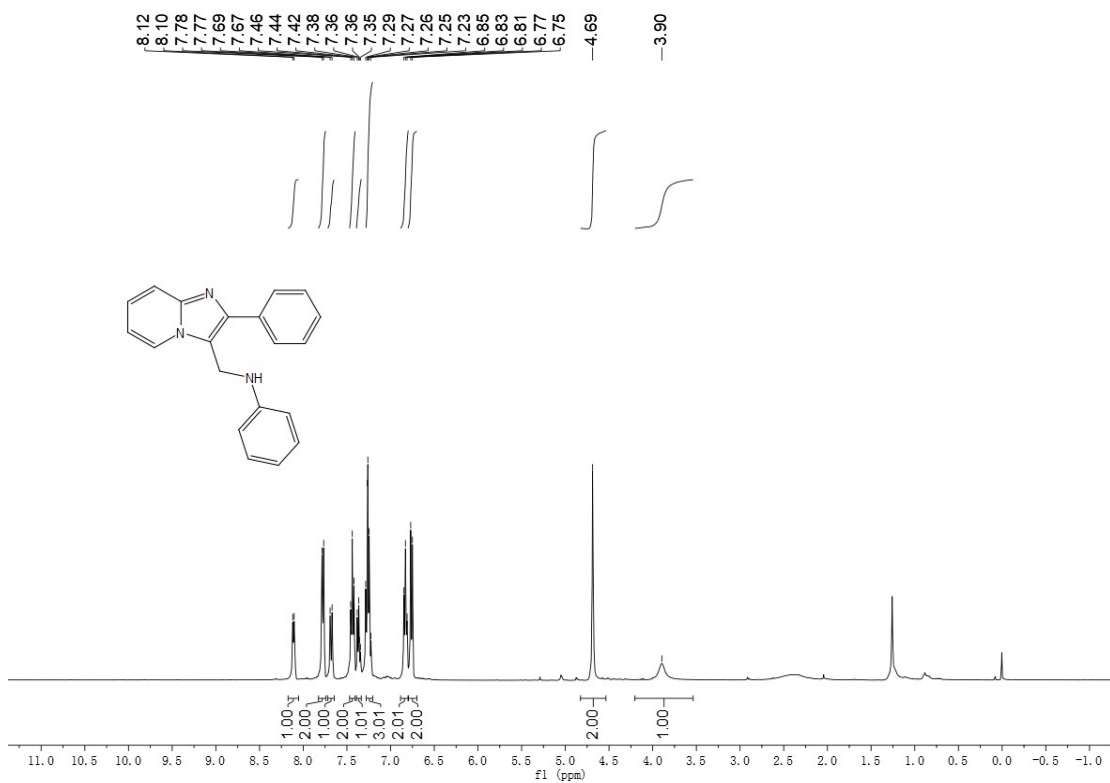
^1H NMR and ^{13}C NMR spectrum of compound **4h**



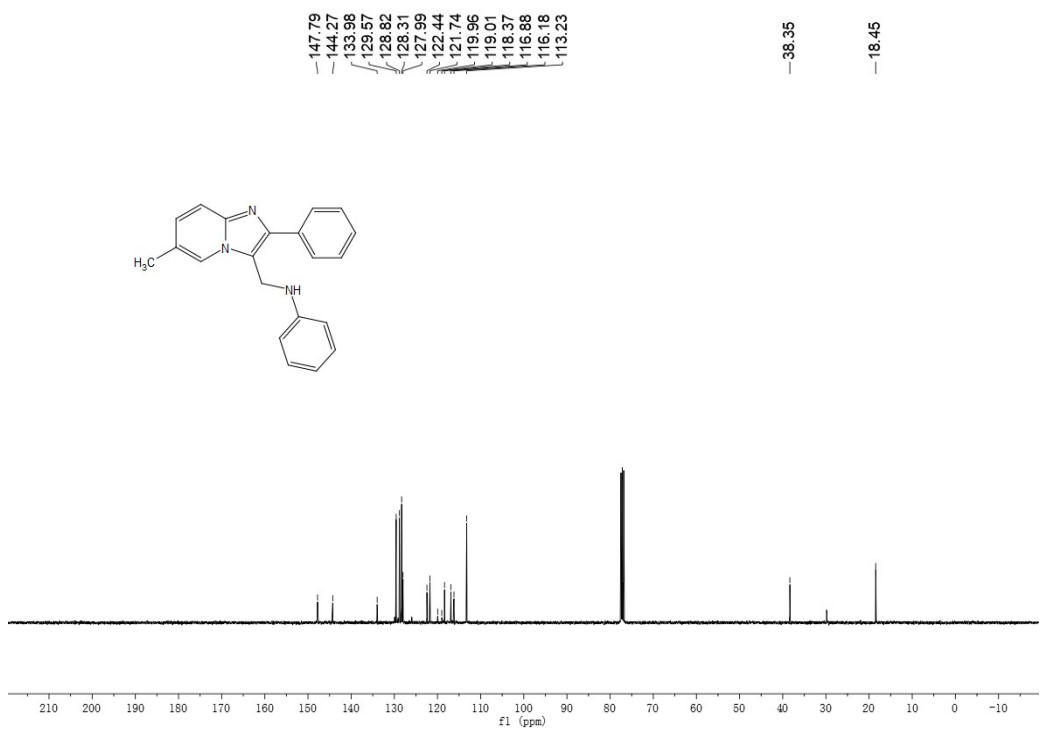
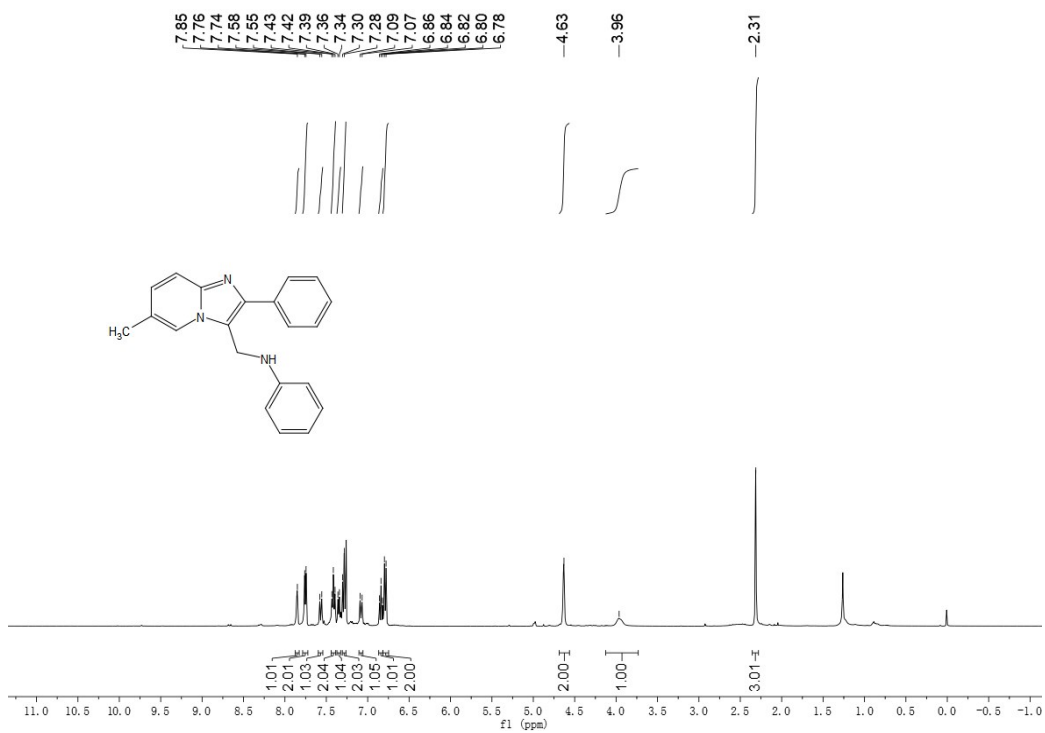
¹H NMR and ¹³C NMR spectrum of compound **4i**



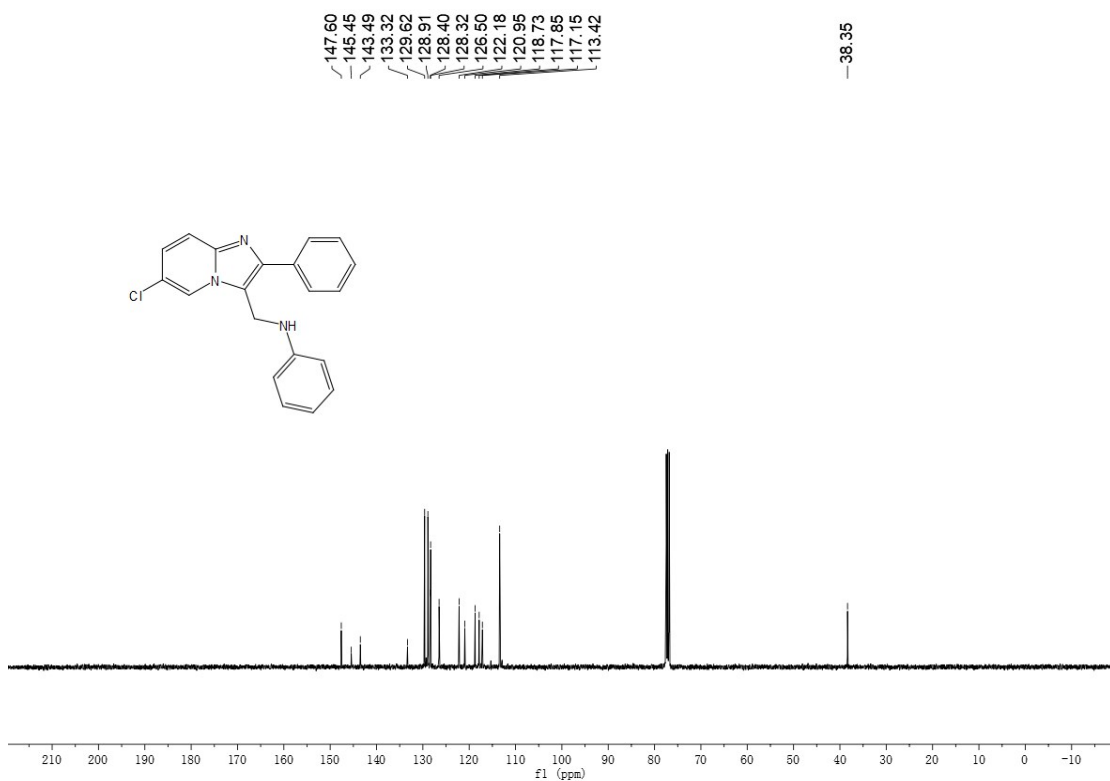
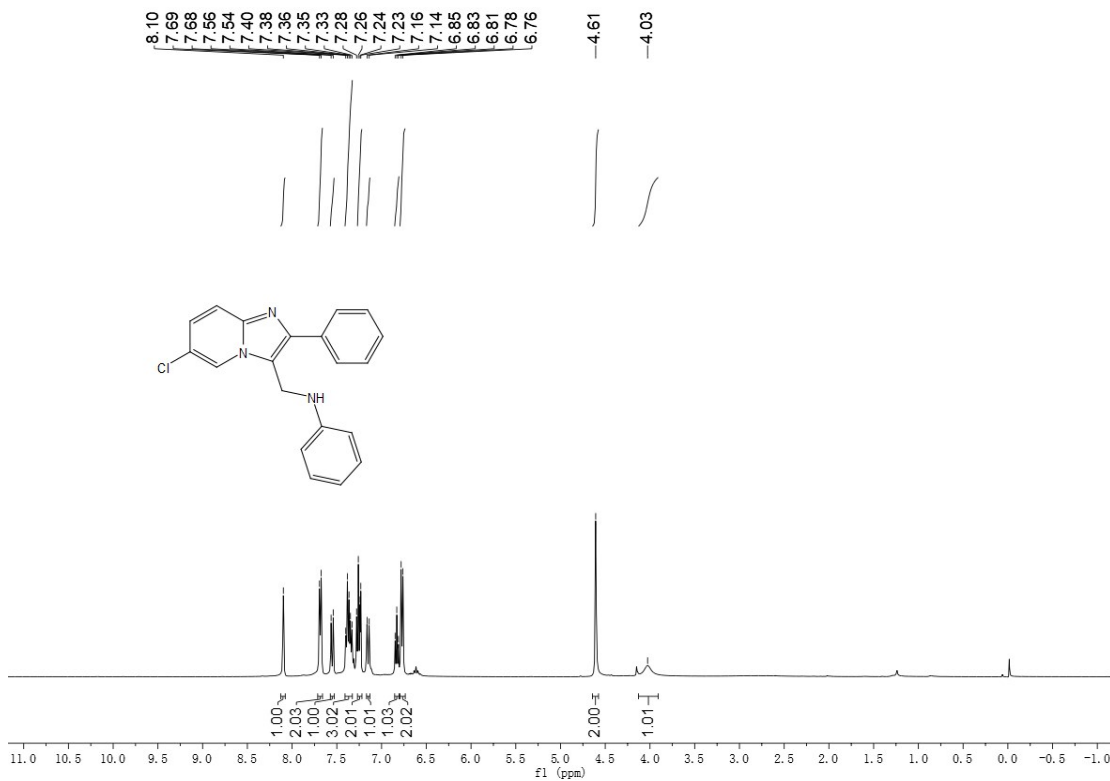
^1H NMR and ^{13}C NMR spectrum of compound **5a**



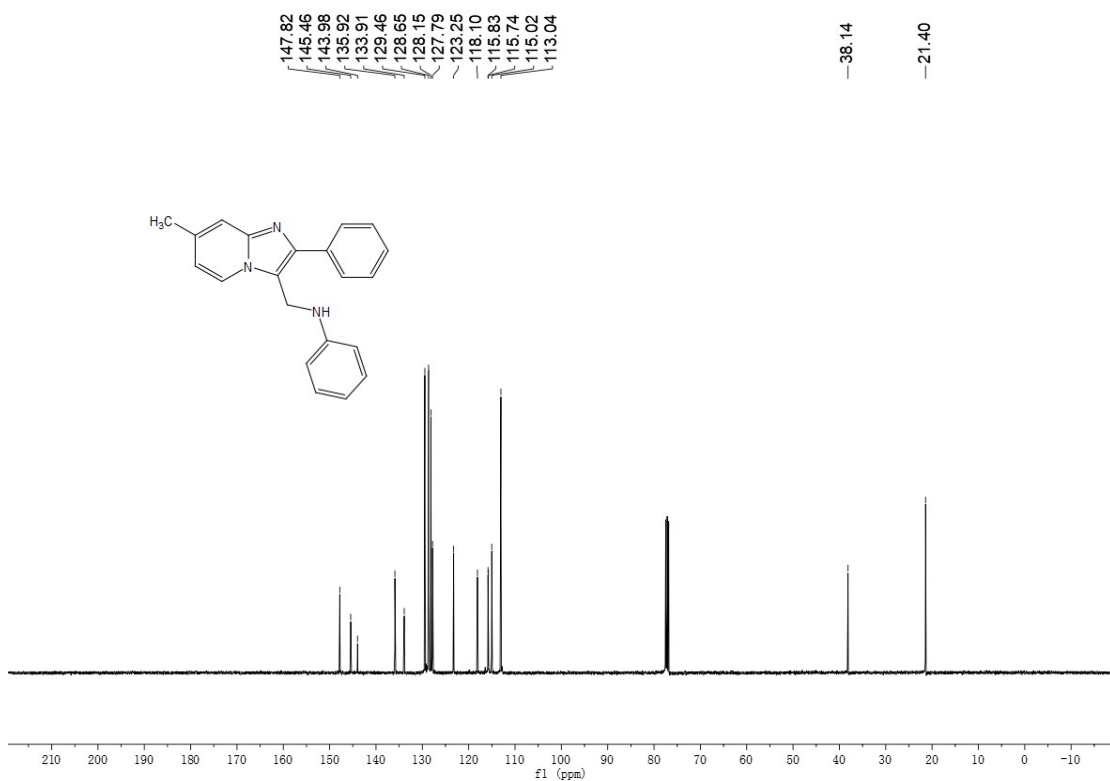
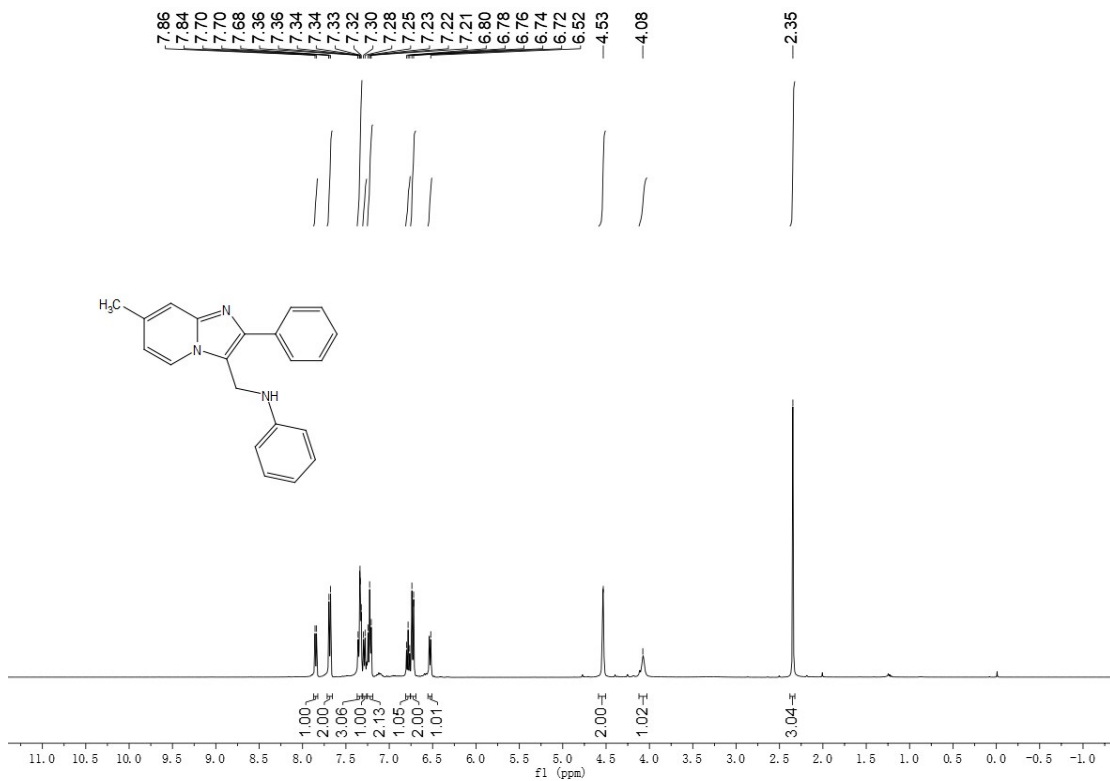
^1H NMR and ^{13}C NMR spectrum of compound **5b**



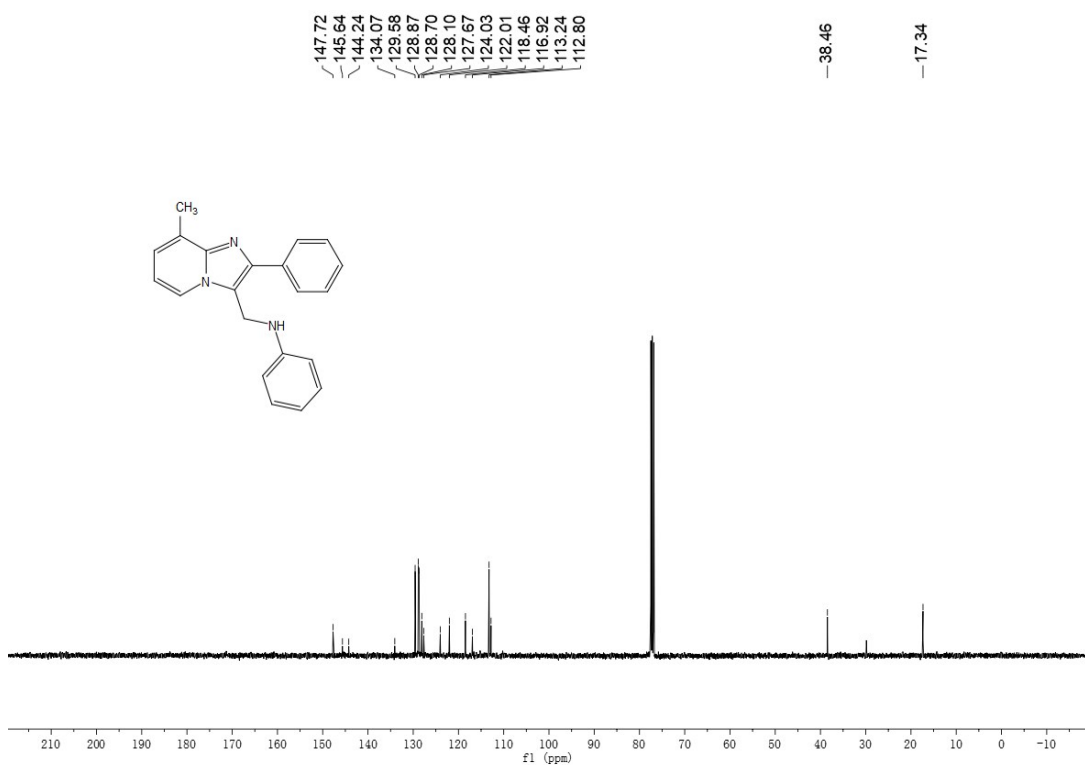
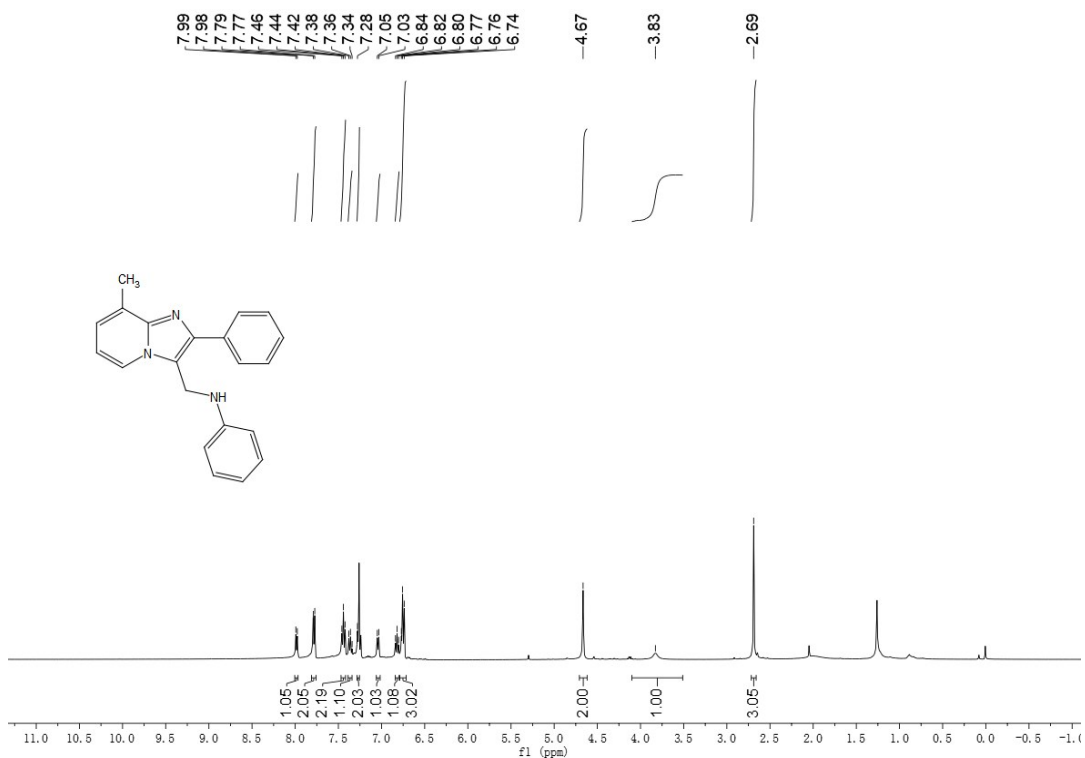
^1H NMR and ^{13}C NMR spectrum of compound **5c**



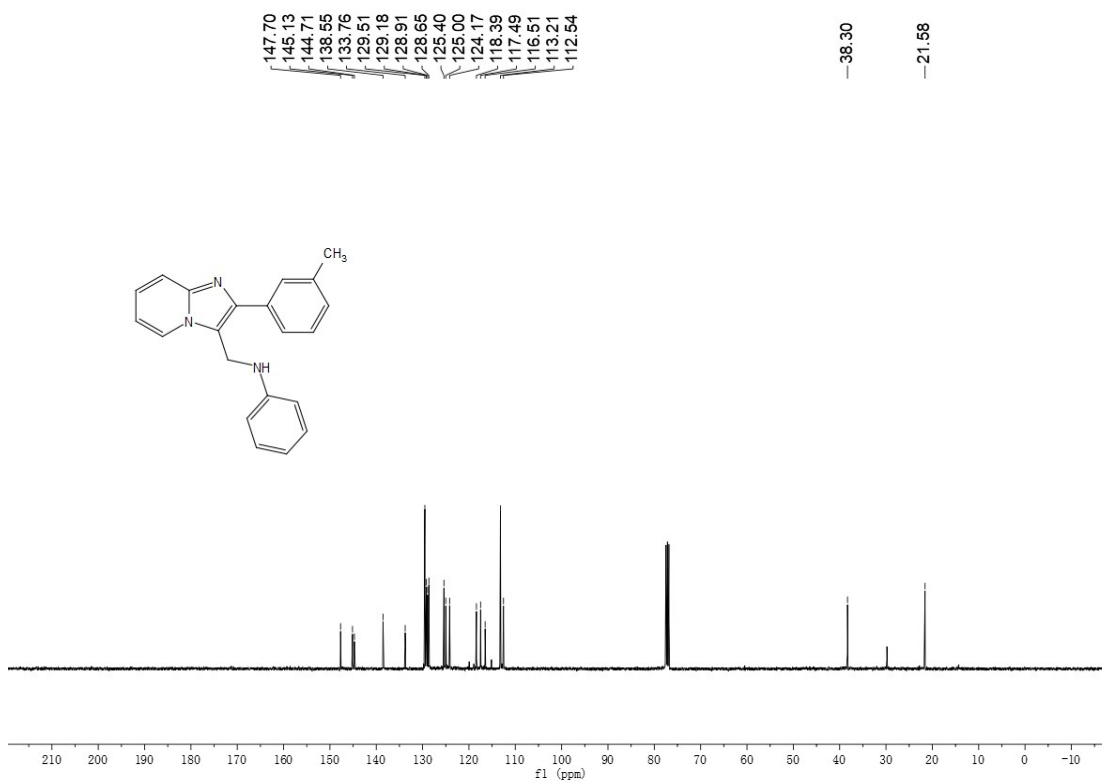
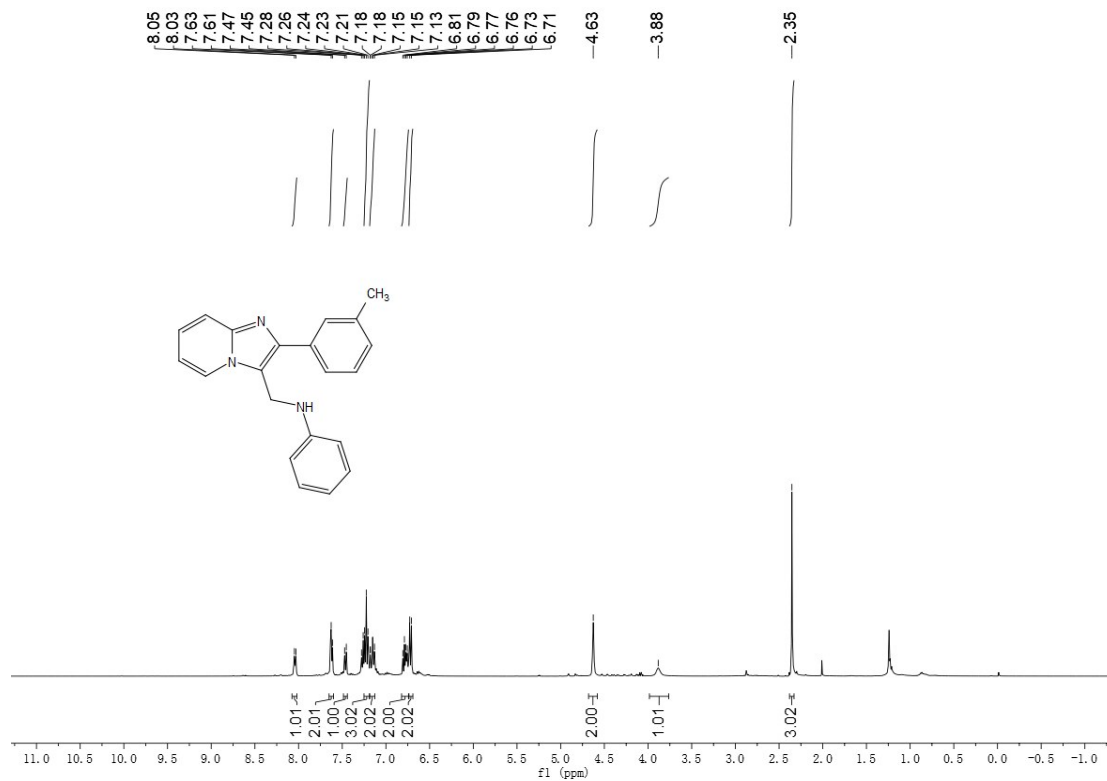
^1H NMR and ^{13}C NMR spectrum of compound **5d**



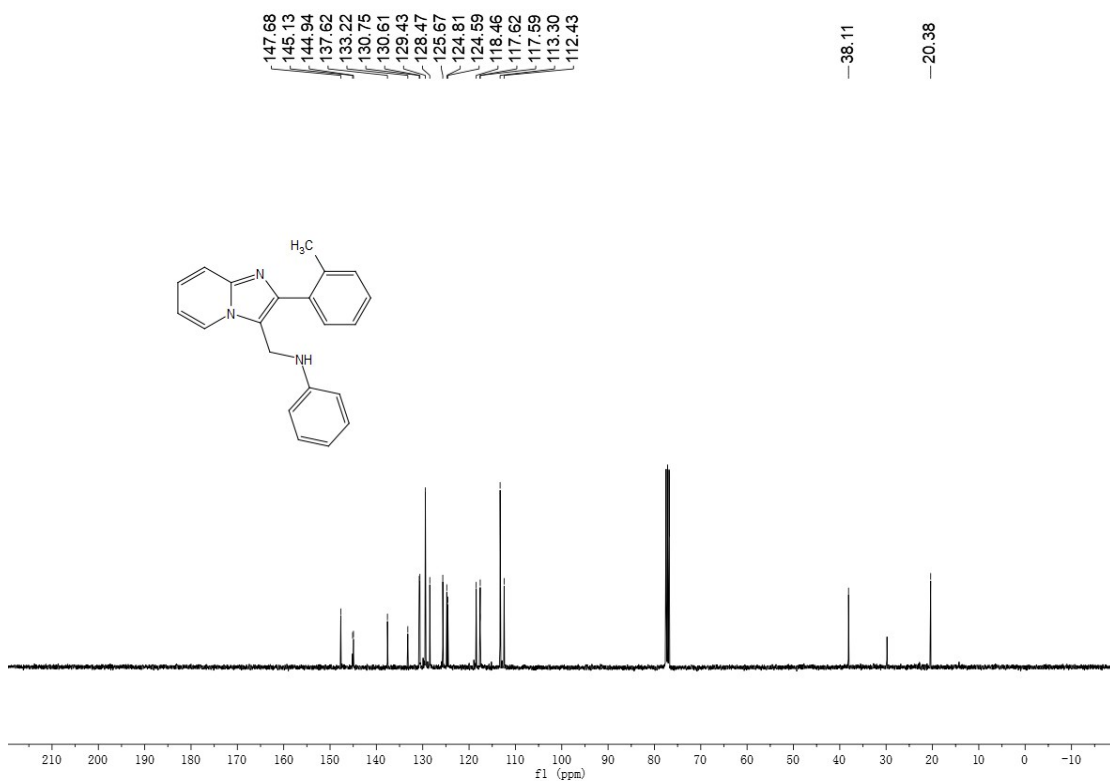
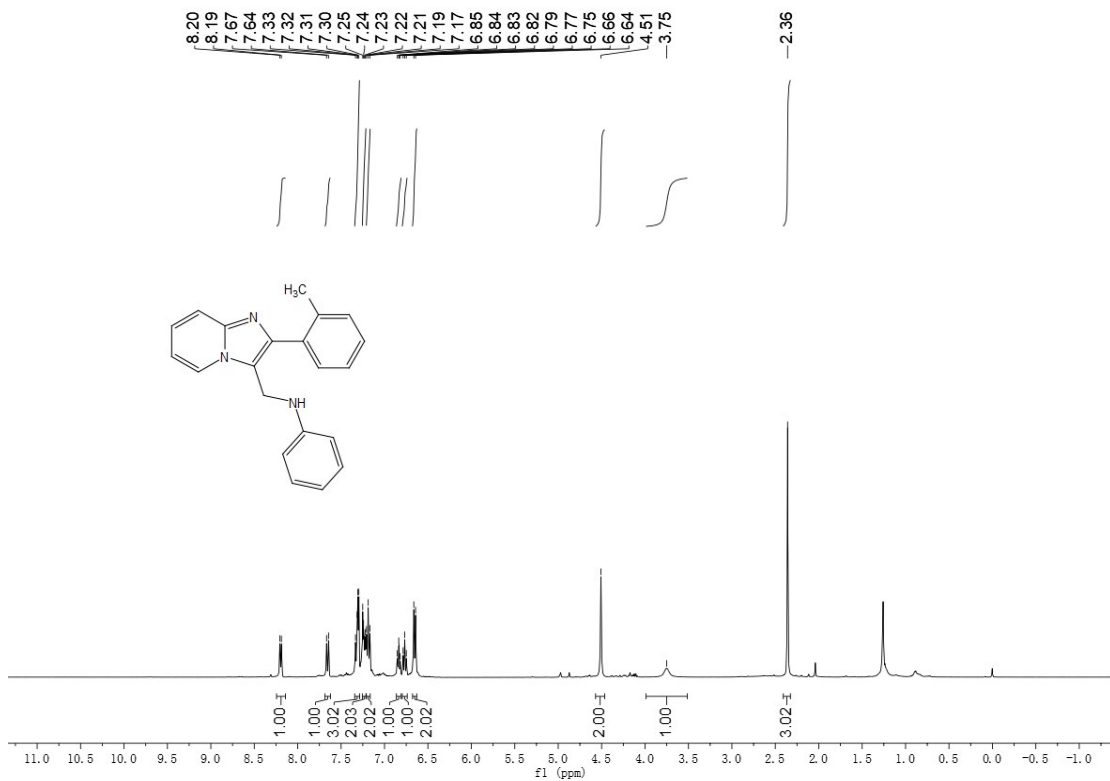
^1H NMR and ^{13}C NMR spectrum of compound **5e**



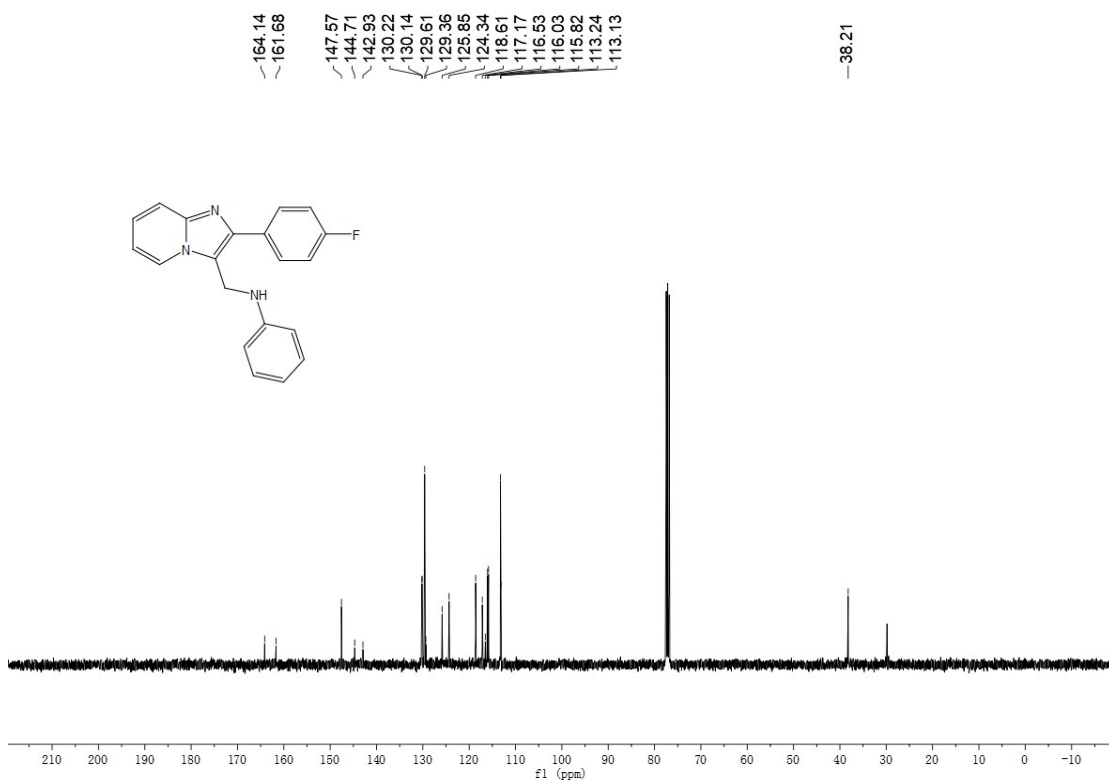
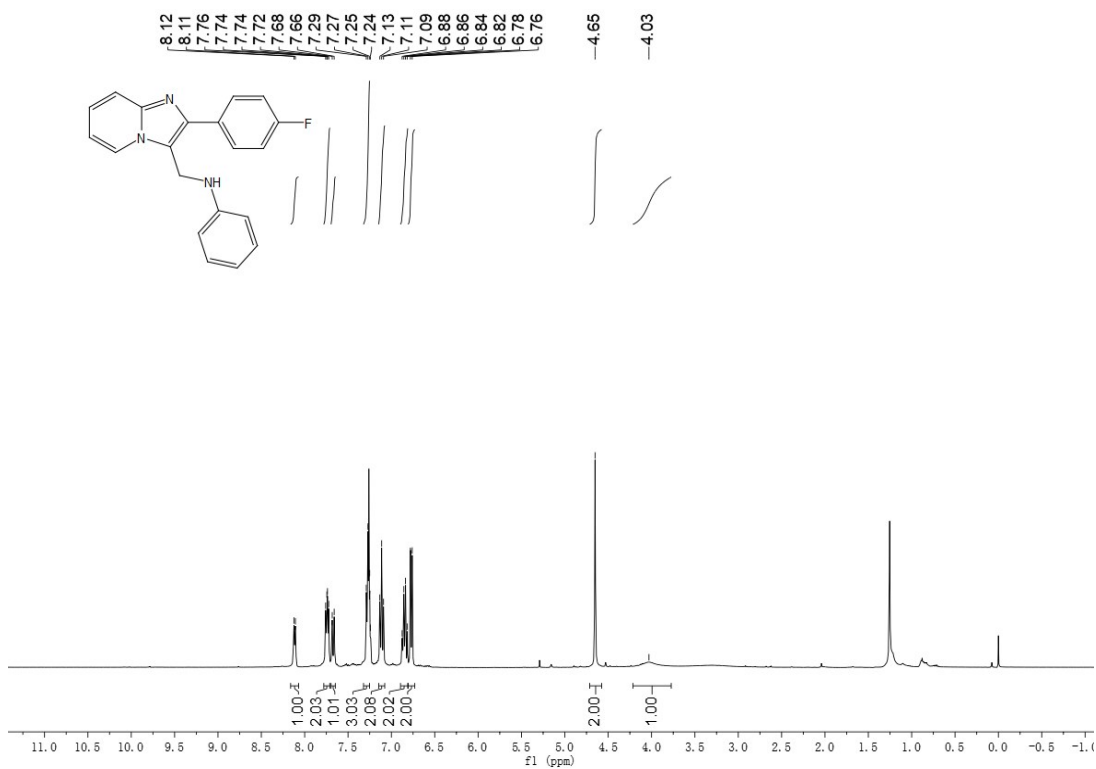
^1H NMR and ^{13}C NMR spectrum of compound **5f**



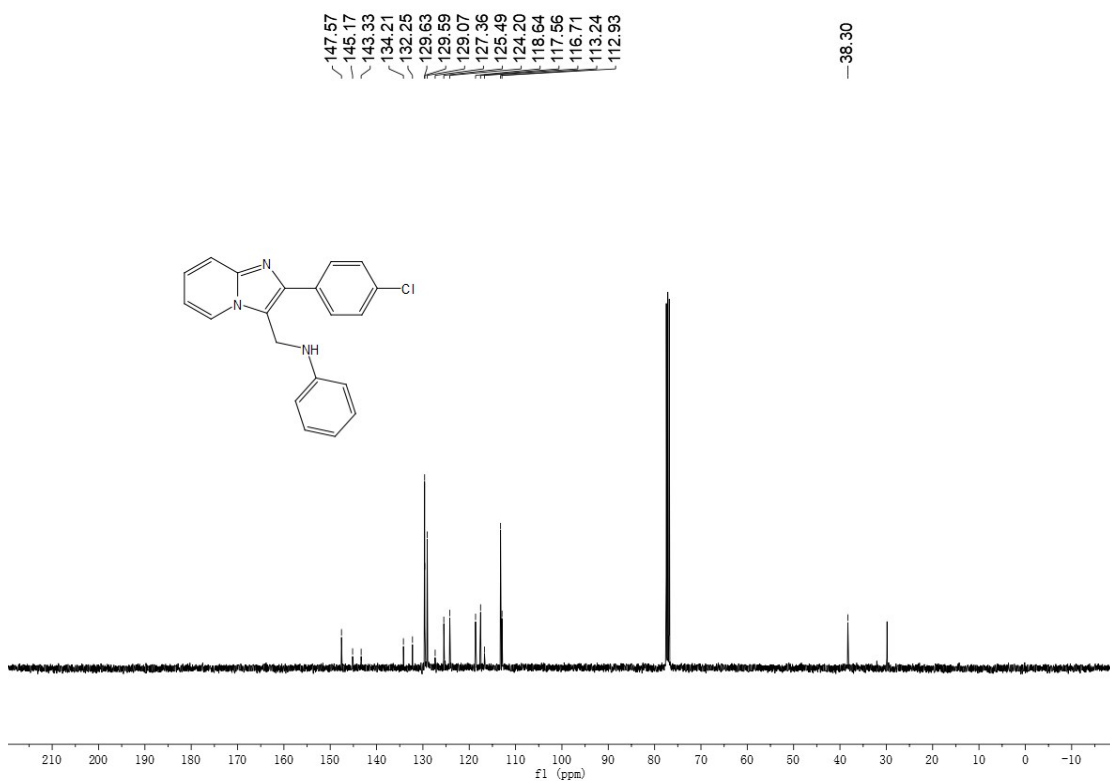
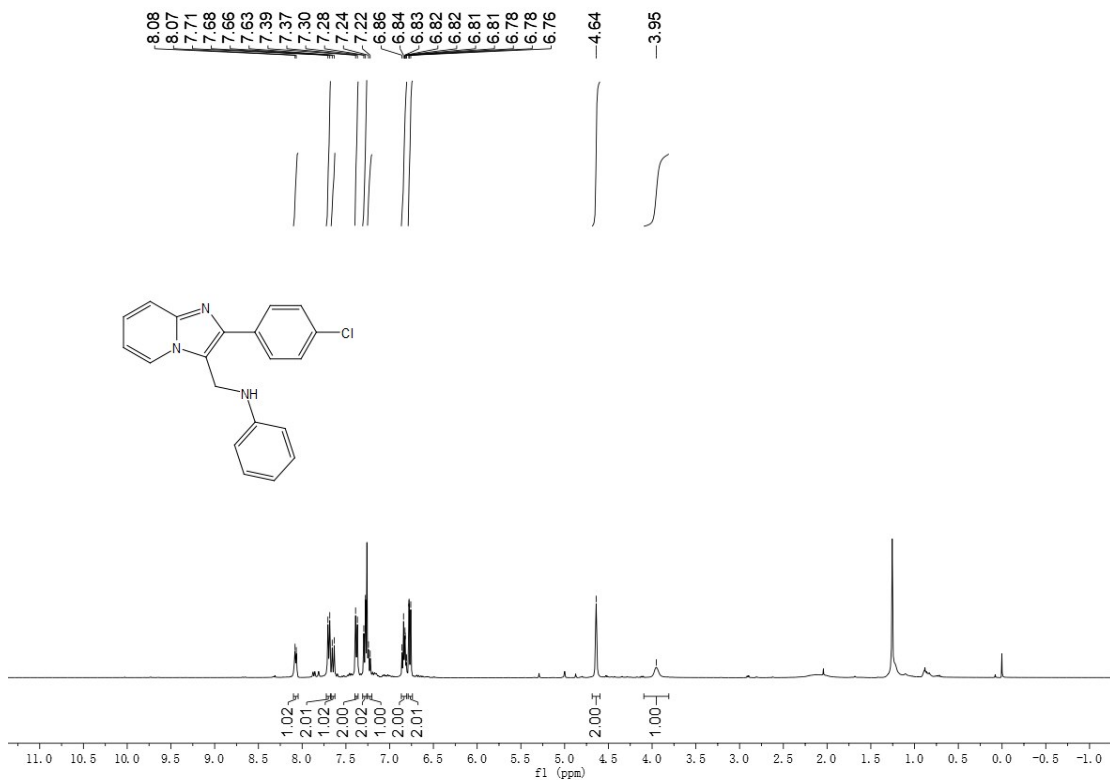
^1H NMR and ^{13}C NMR spectrum of compound **5g**



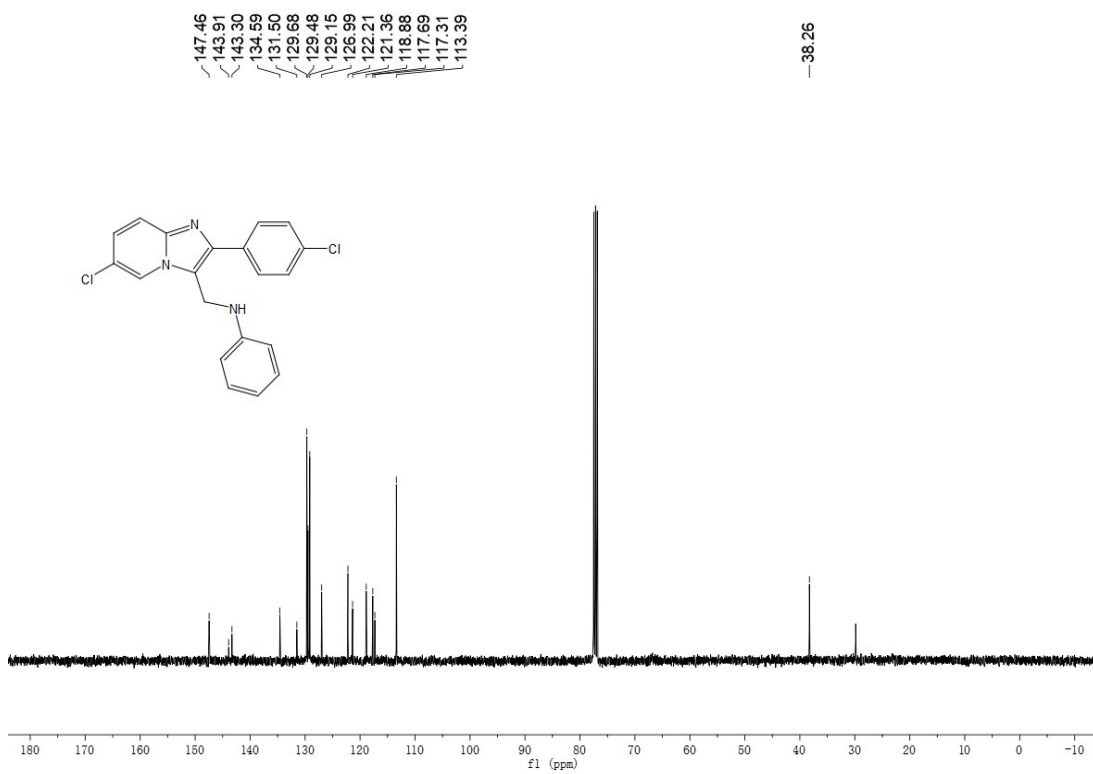
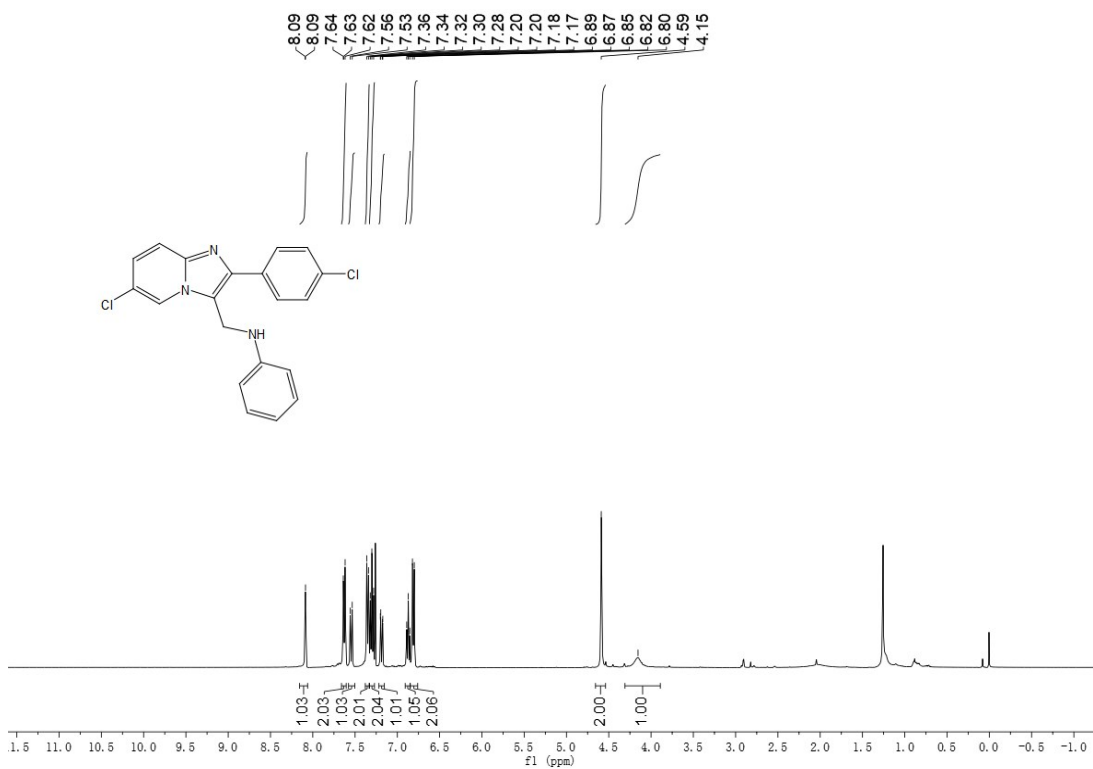
^1H NMR and ^{13}C NMR spectrum of compound **5h**



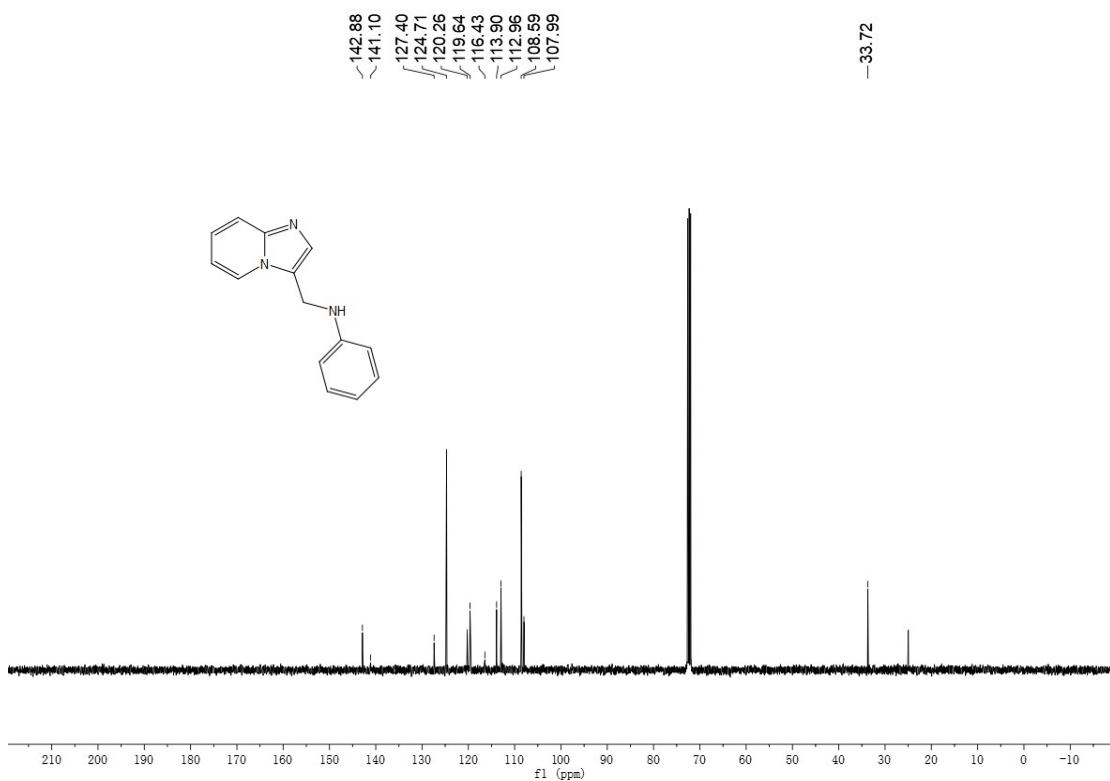
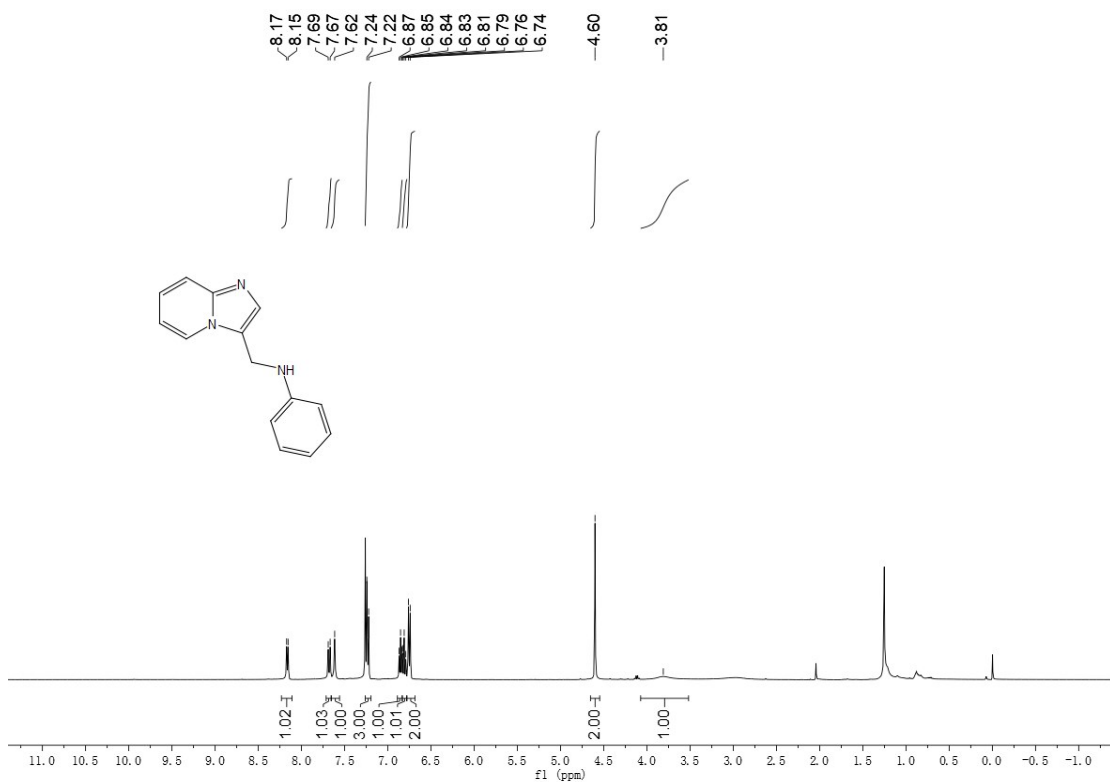
^1H NMR and ^{13}C NMR spectrum of compound **5i**



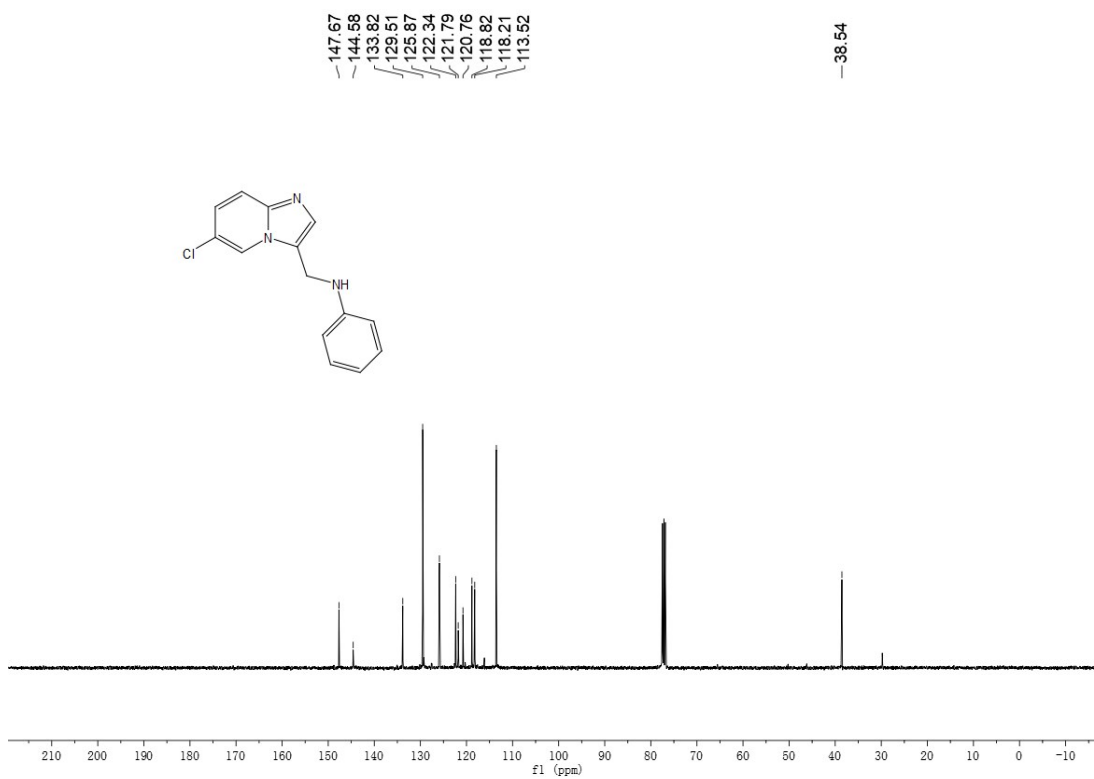
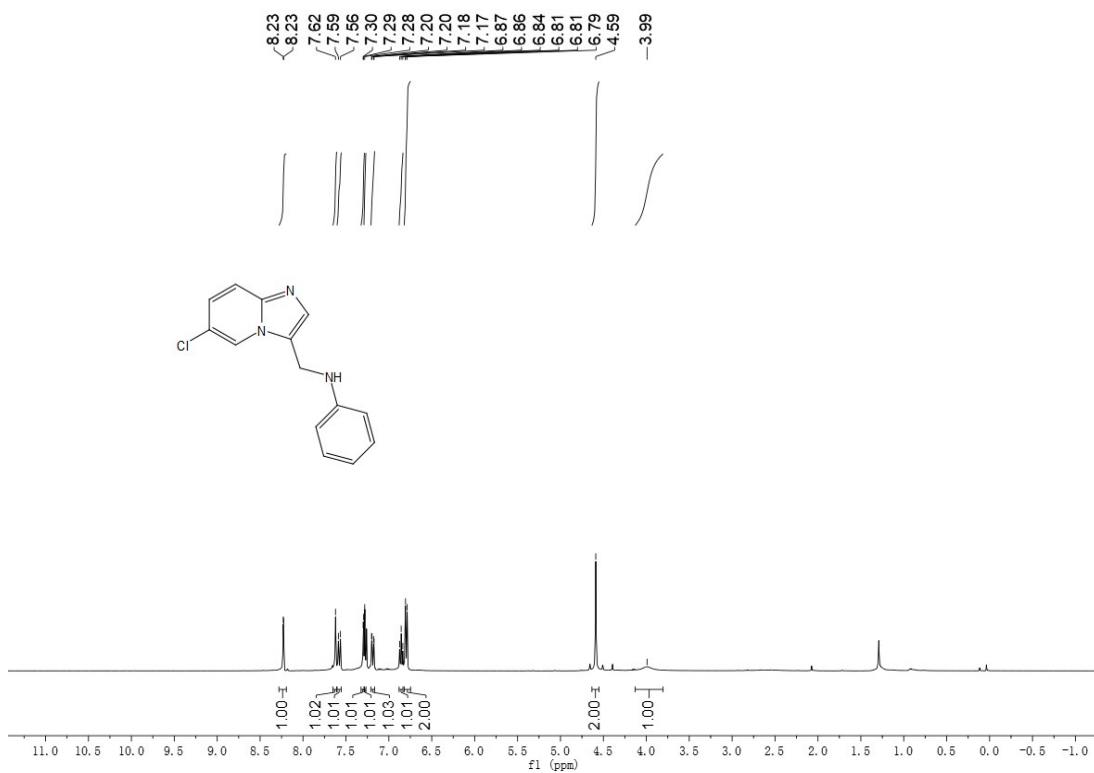
^1H NMR and ^{13}C NMR spectrum of compound **5j**



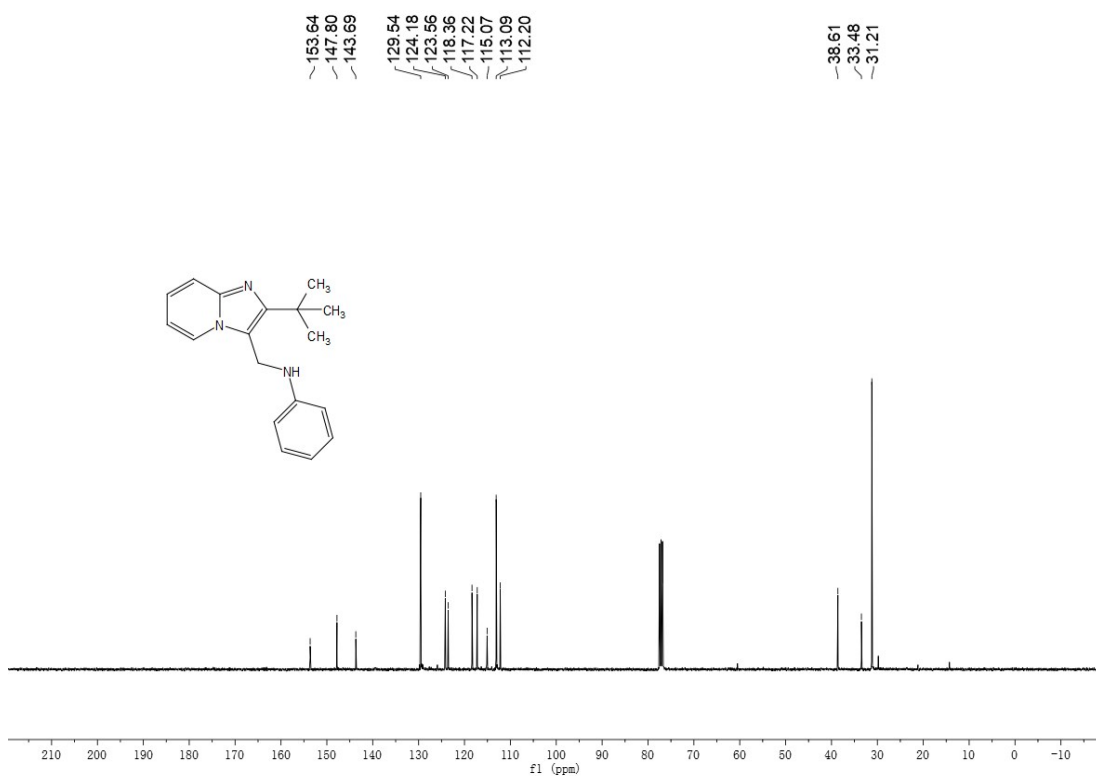
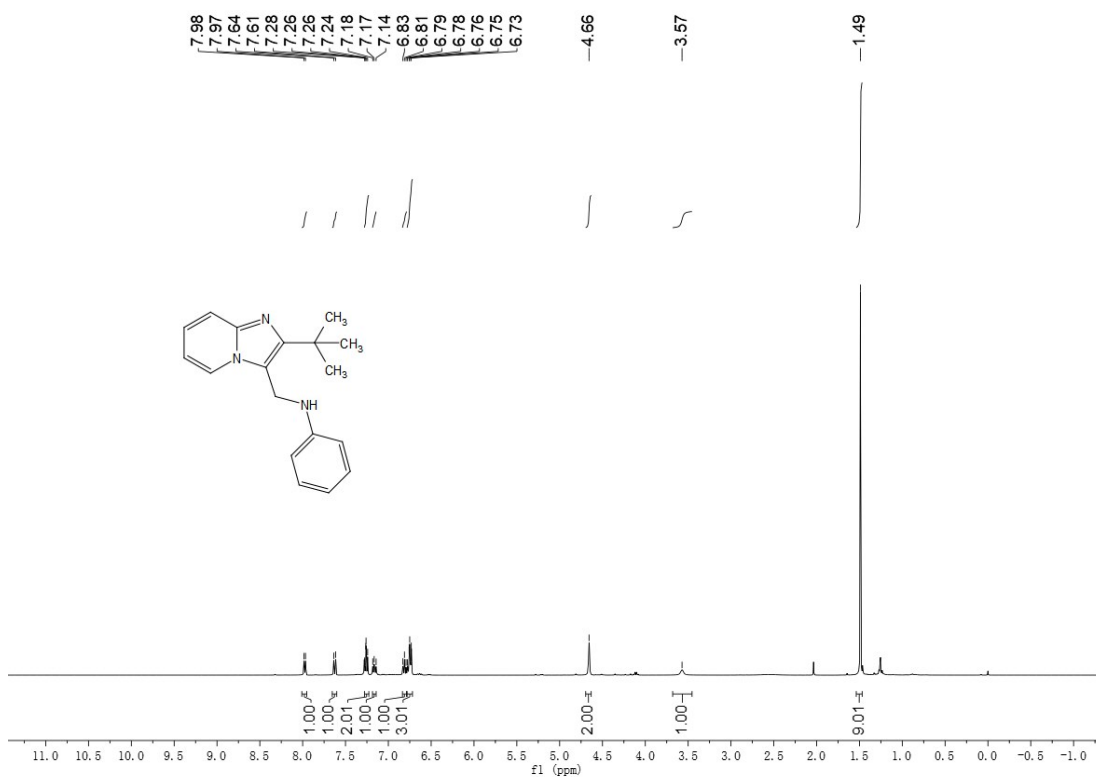
^1H NMR and ^{13}C NMR spectrum of compound **5k**



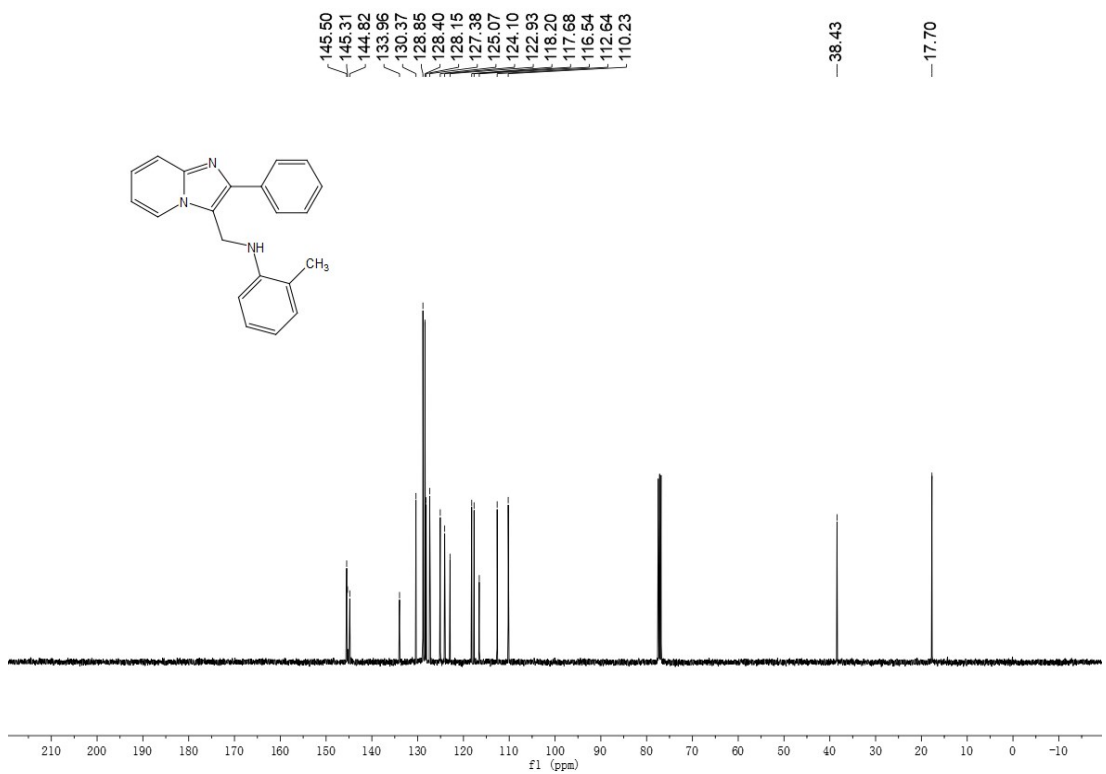
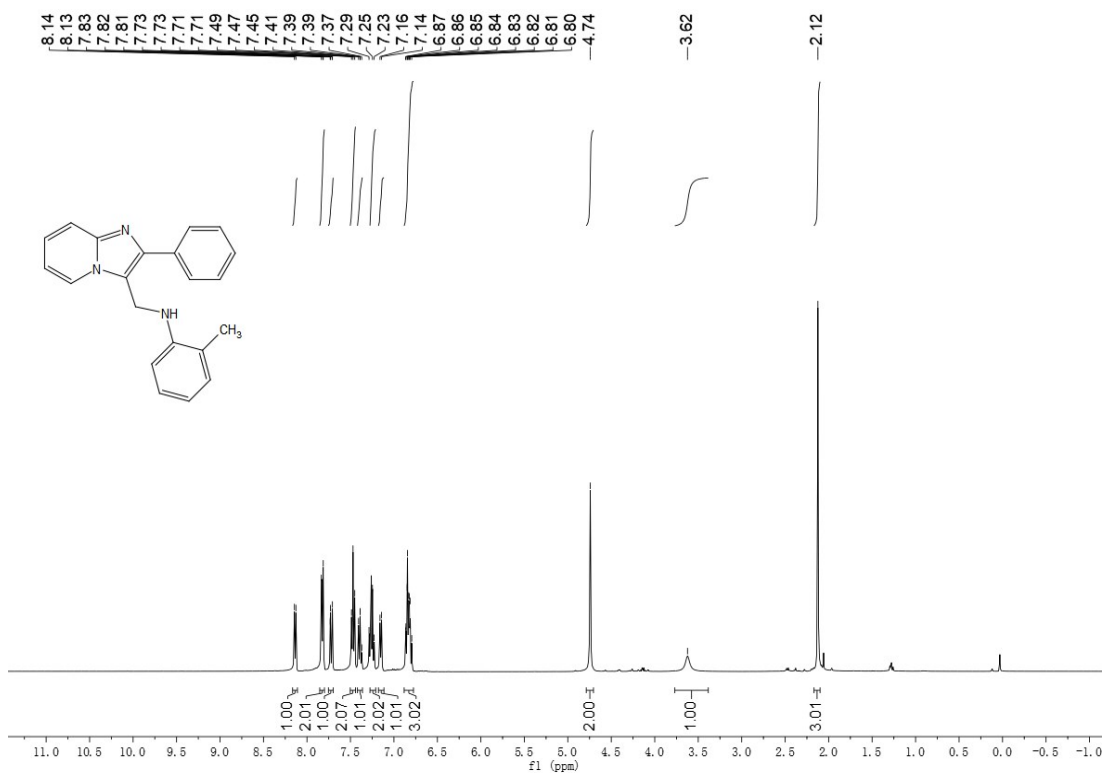
¹H NMR and ¹³C NMR spectrum of compound **51**



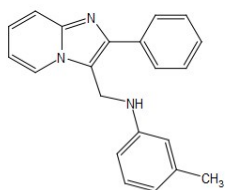
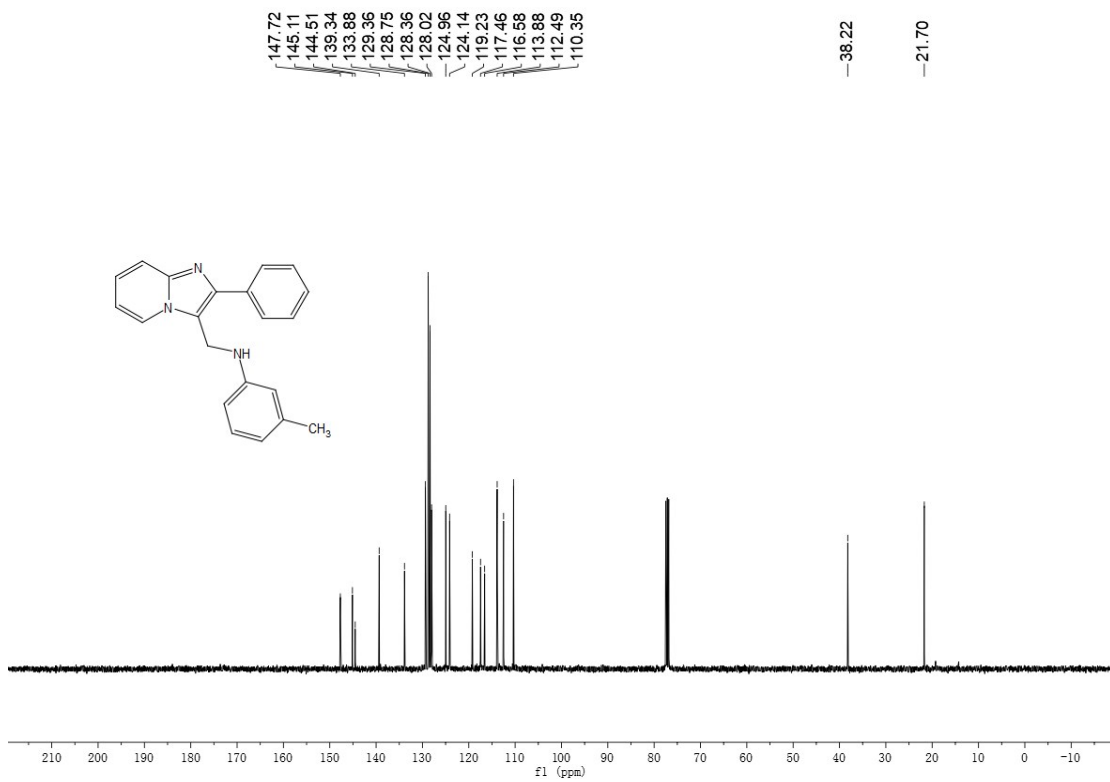
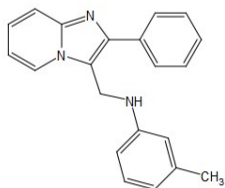
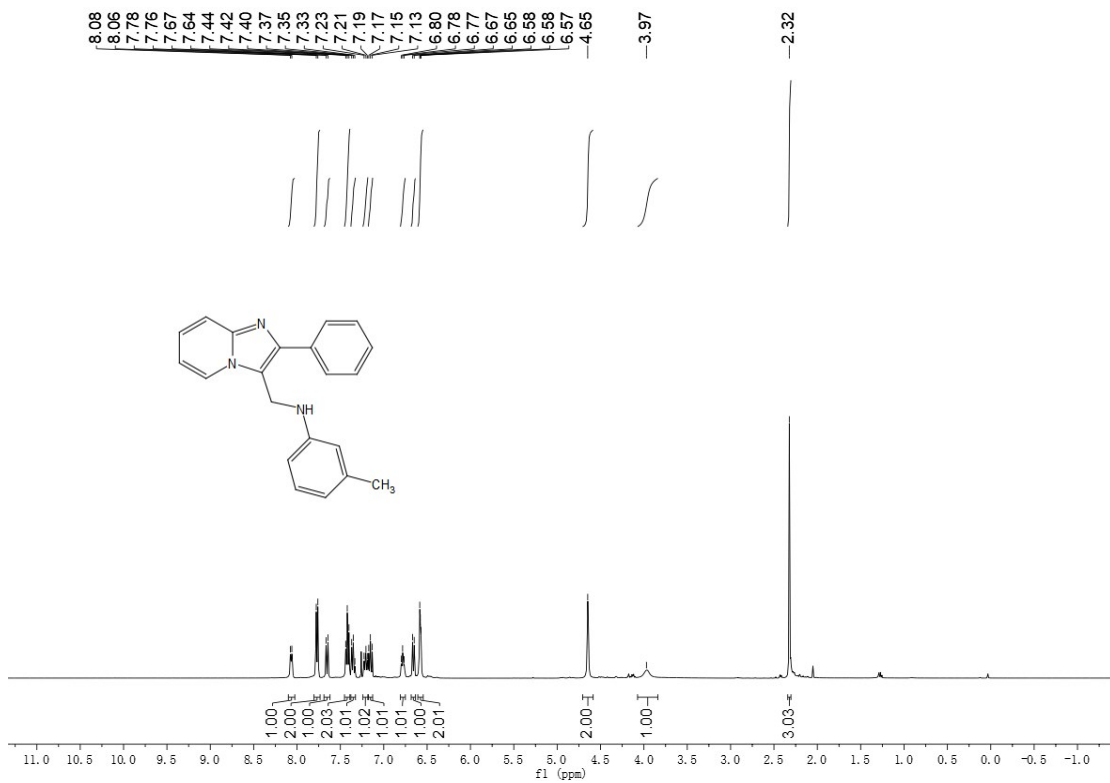
^1H NMR and ^{13}C NMR spectrum of compound **5m**



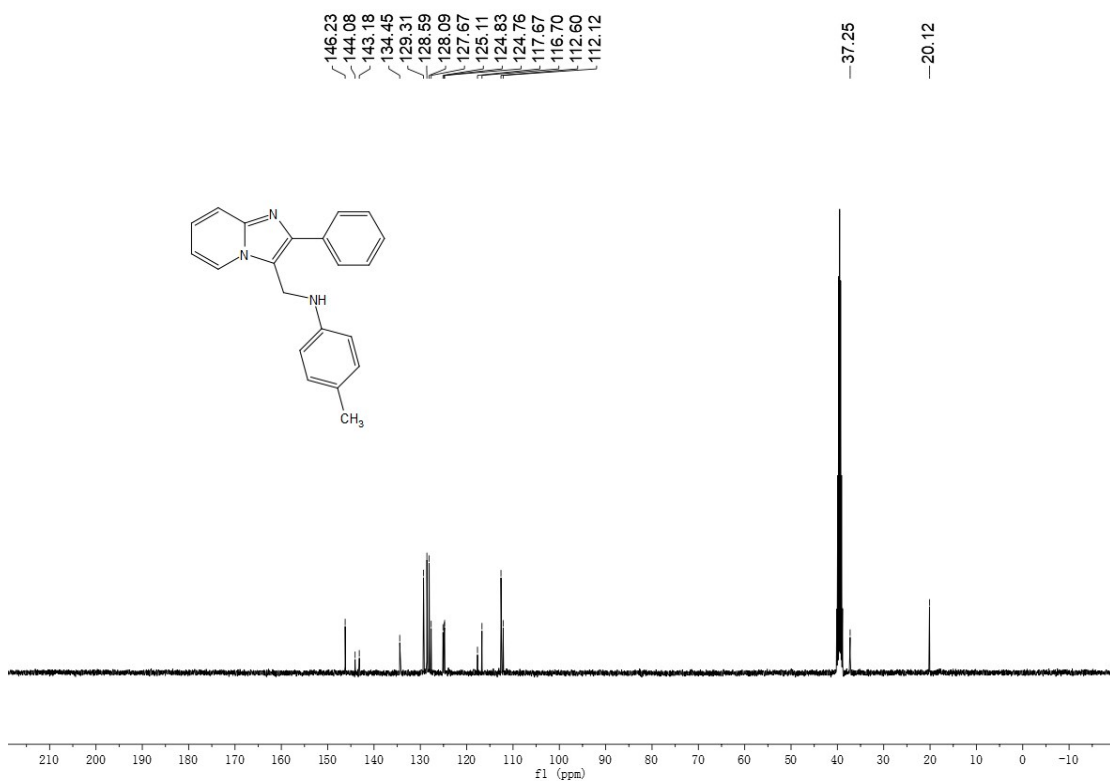
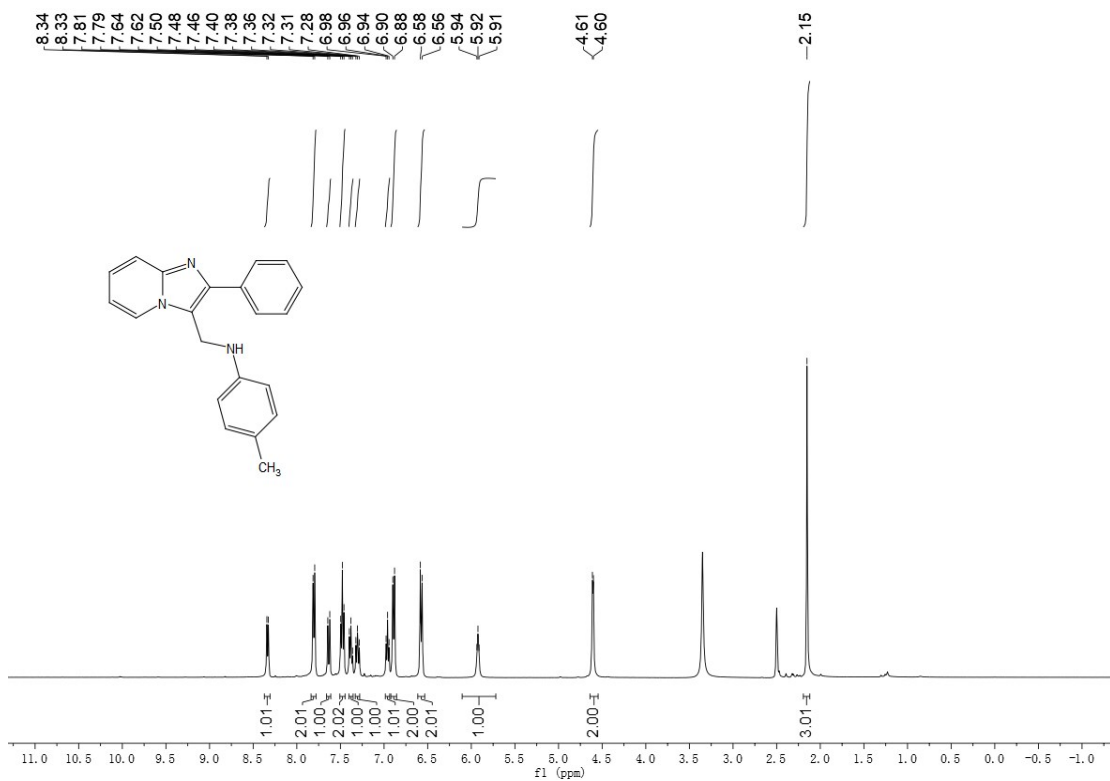
^1H NMR and ^{13}C NMR spectrum of compound **5n**



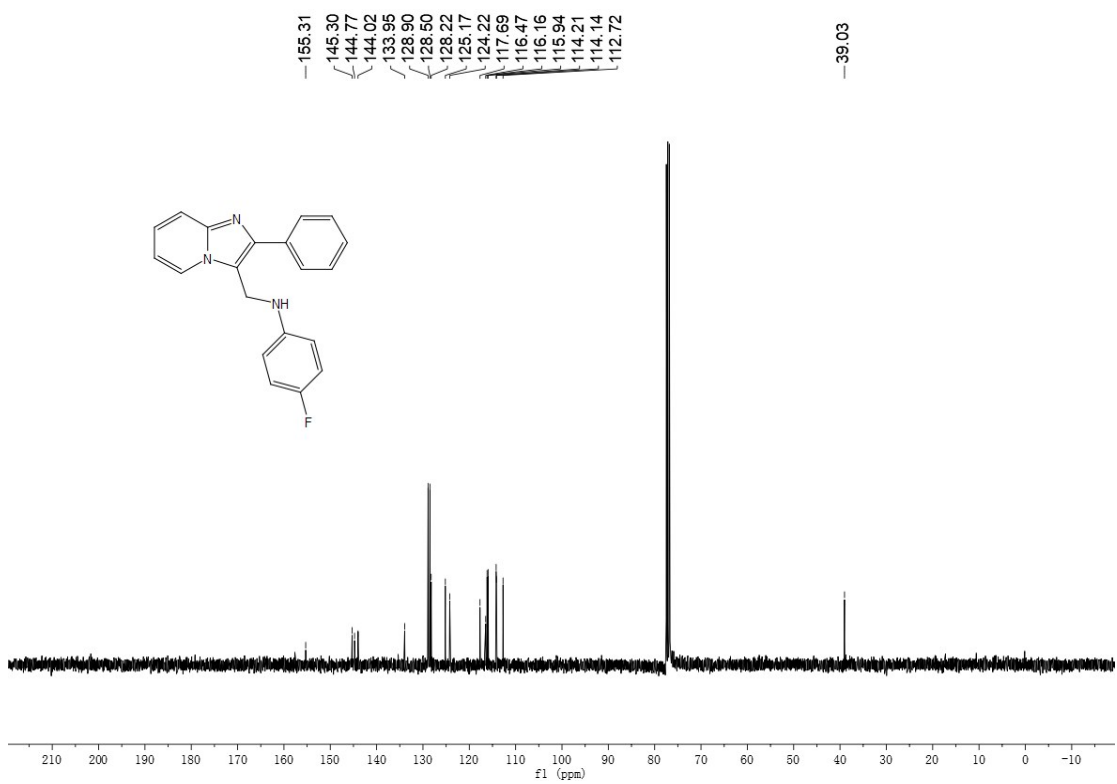
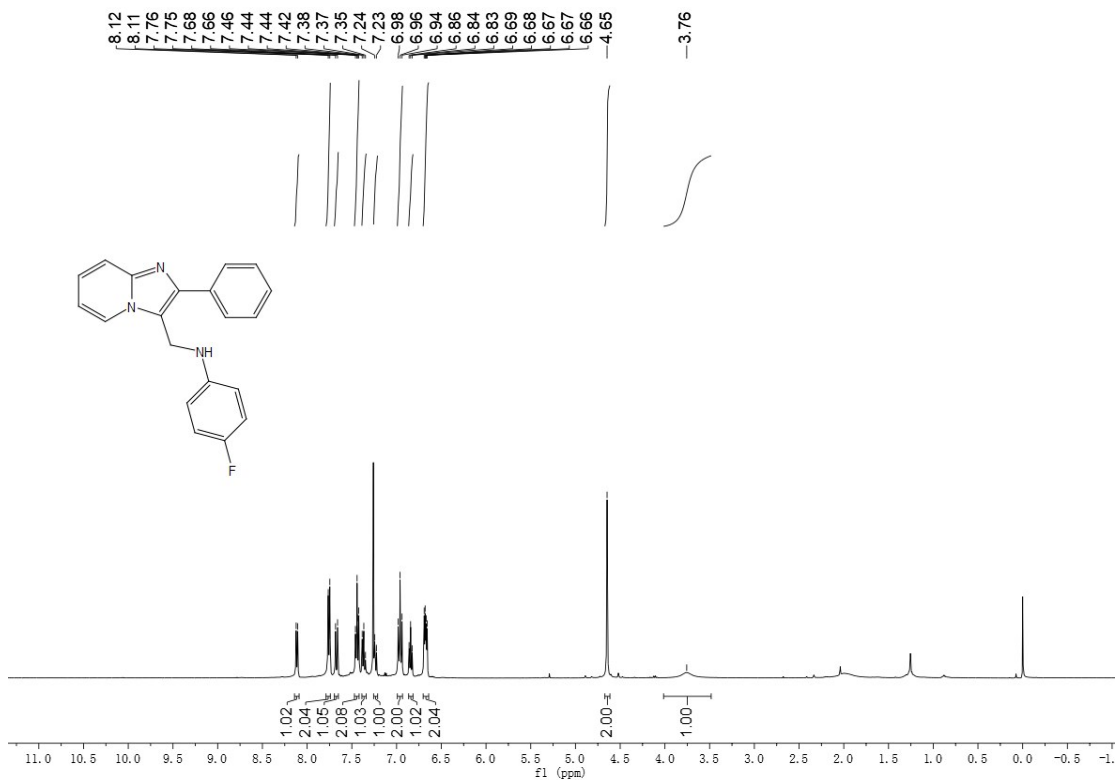
^1H NMR and ^{13}C NMR spectrum of compound **50**



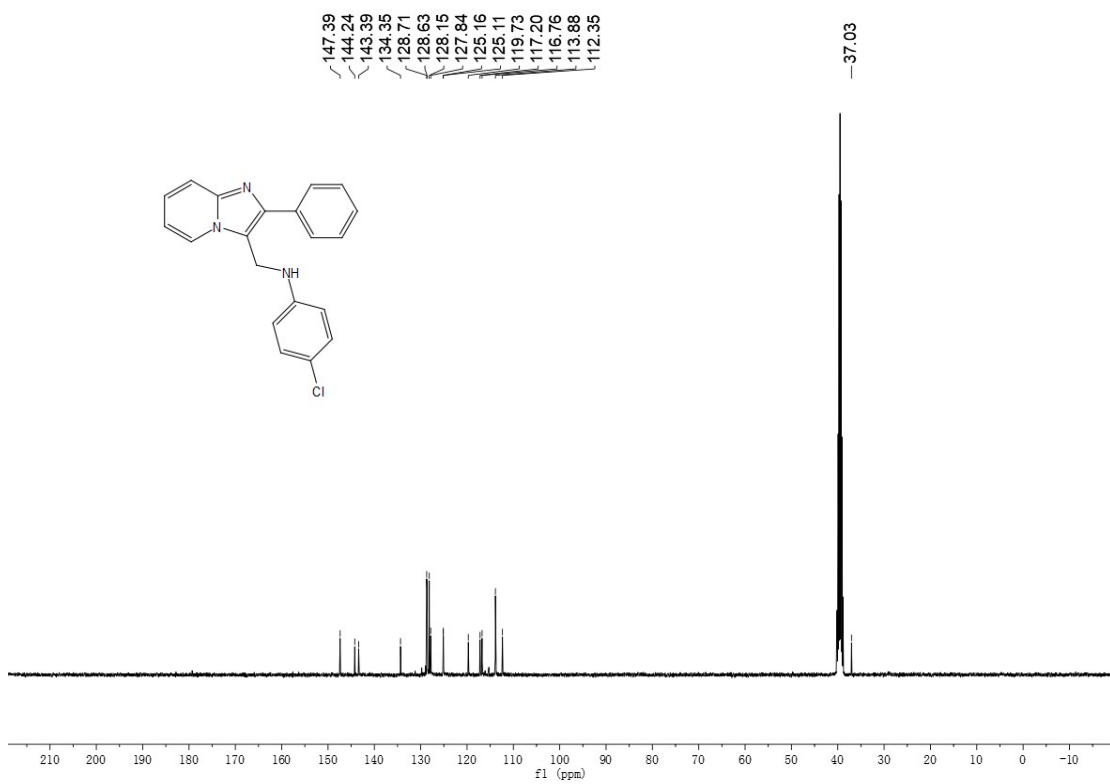
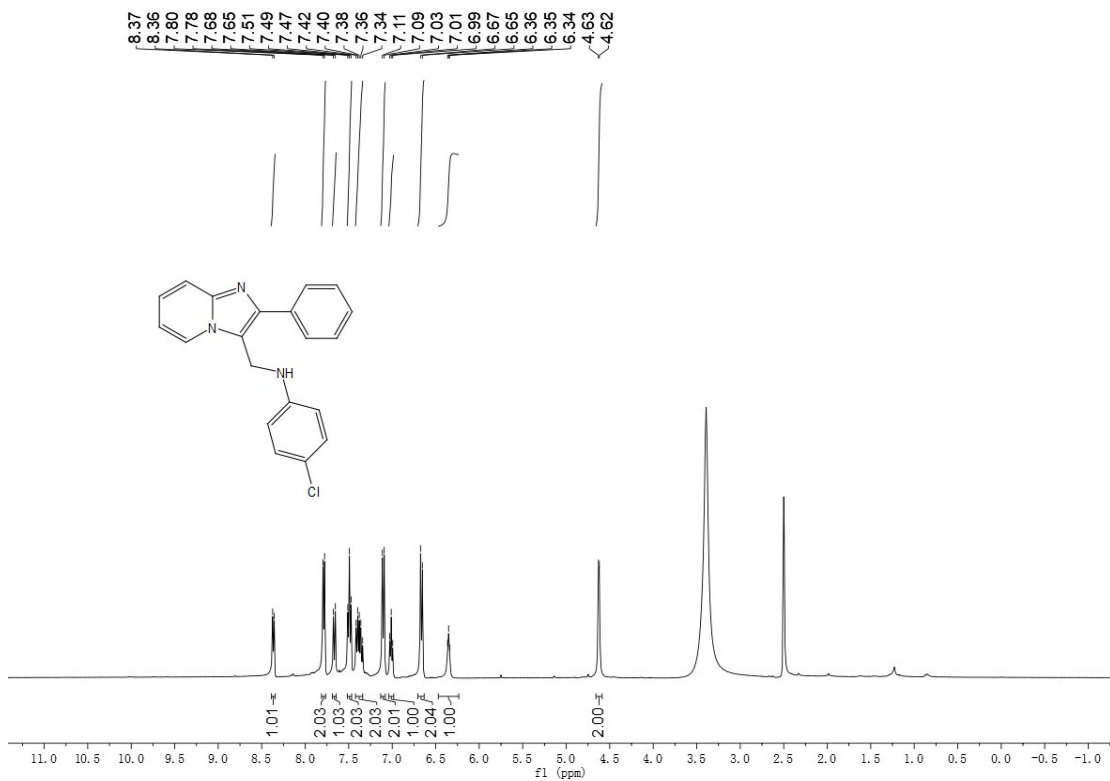
^1H NMR and ^{13}C NMR spectrum of compound **5p**



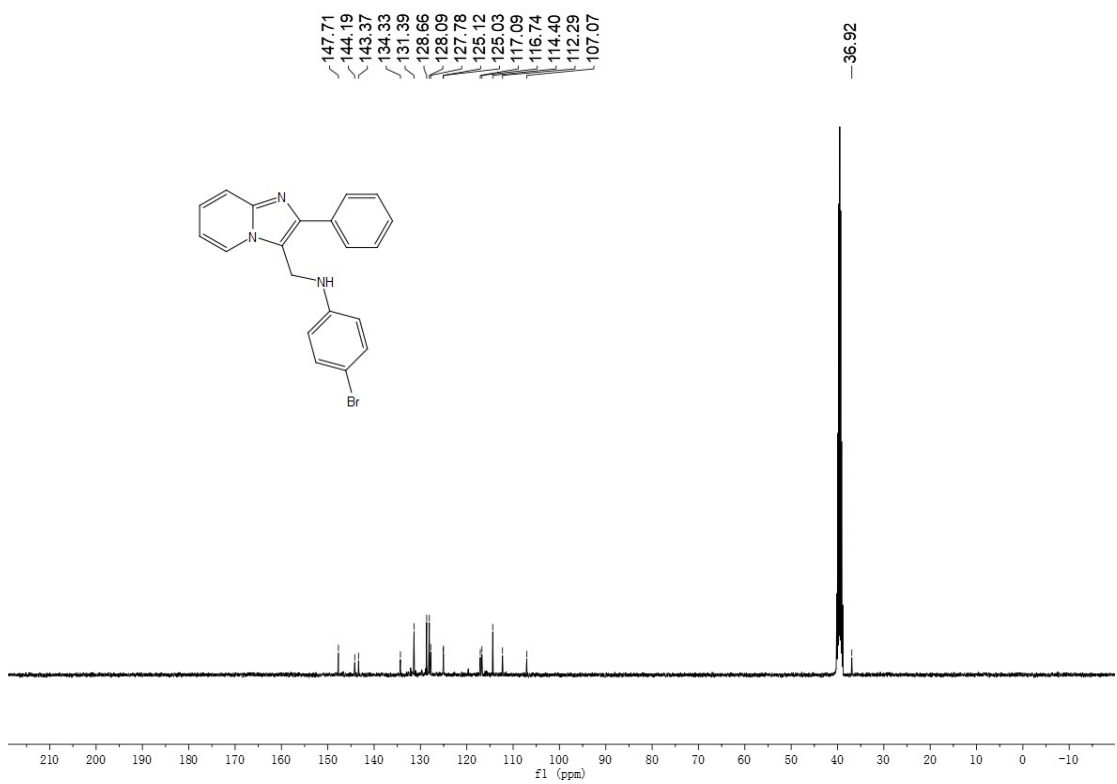
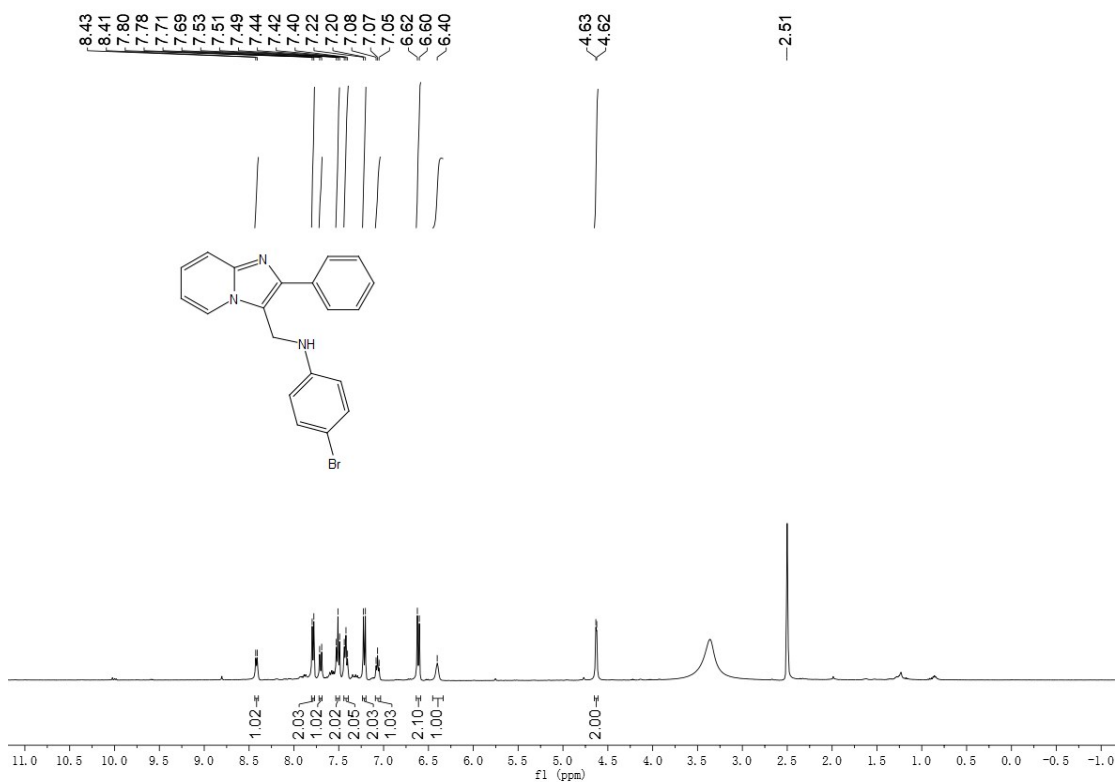
^1H NMR and ^{13}C NMR spectrum of compound **5q**



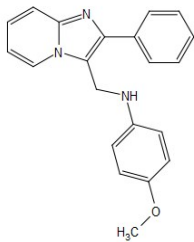
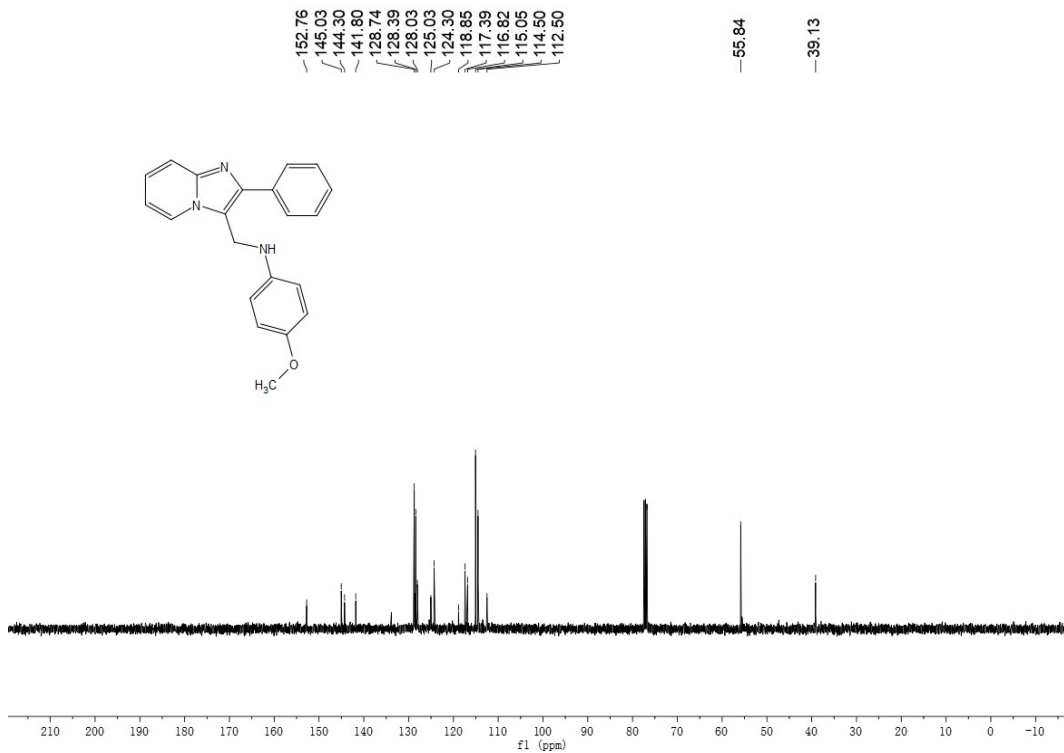
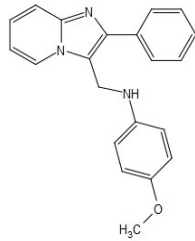
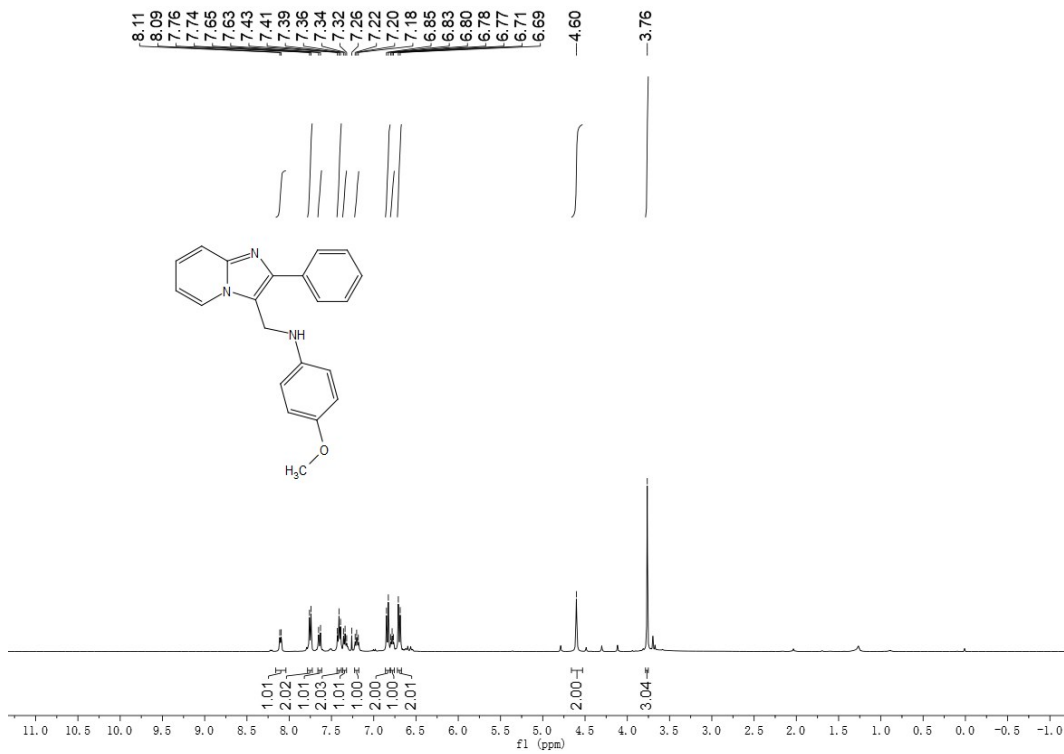
^1H NMR and ^{13}C NMR spectrum of compound **5r**



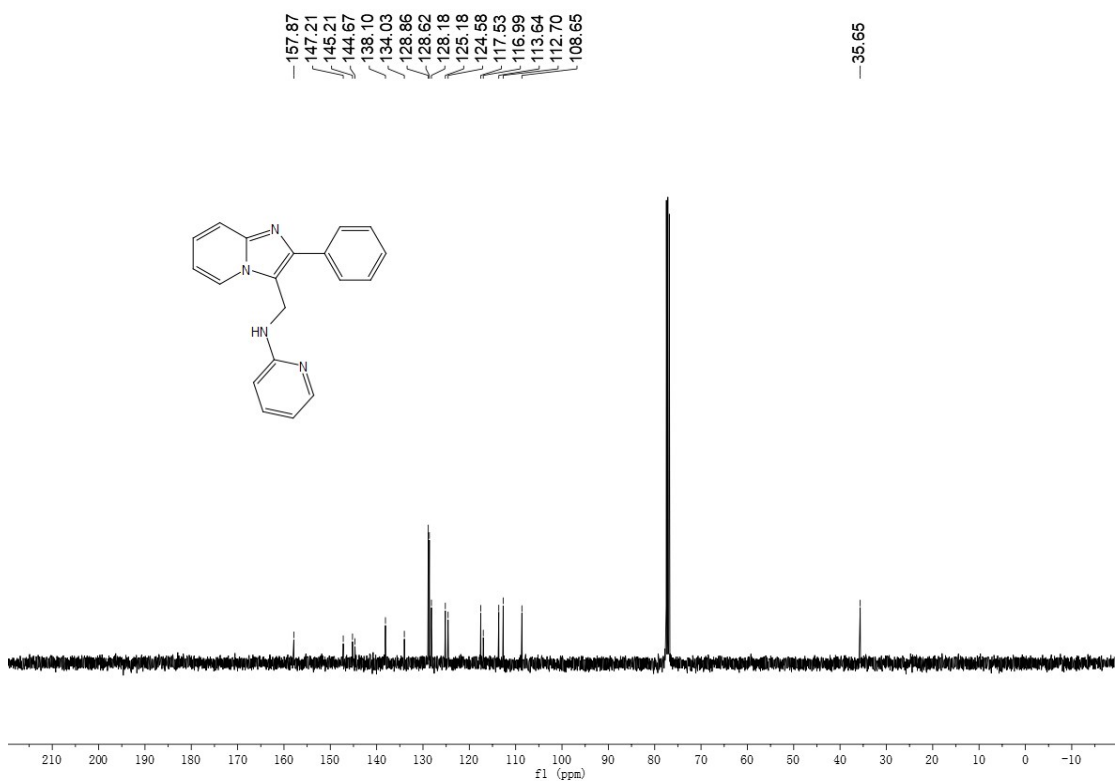
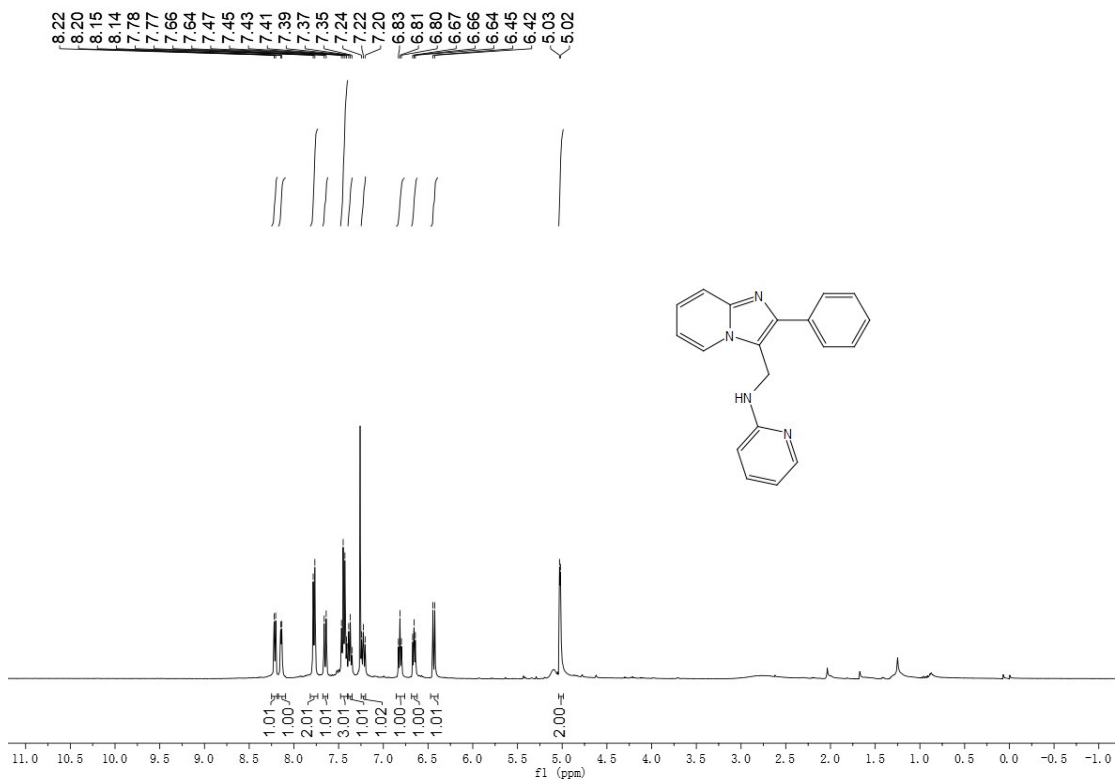
^1H NMR and ^{13}C NMR spectrum of compound **5s**



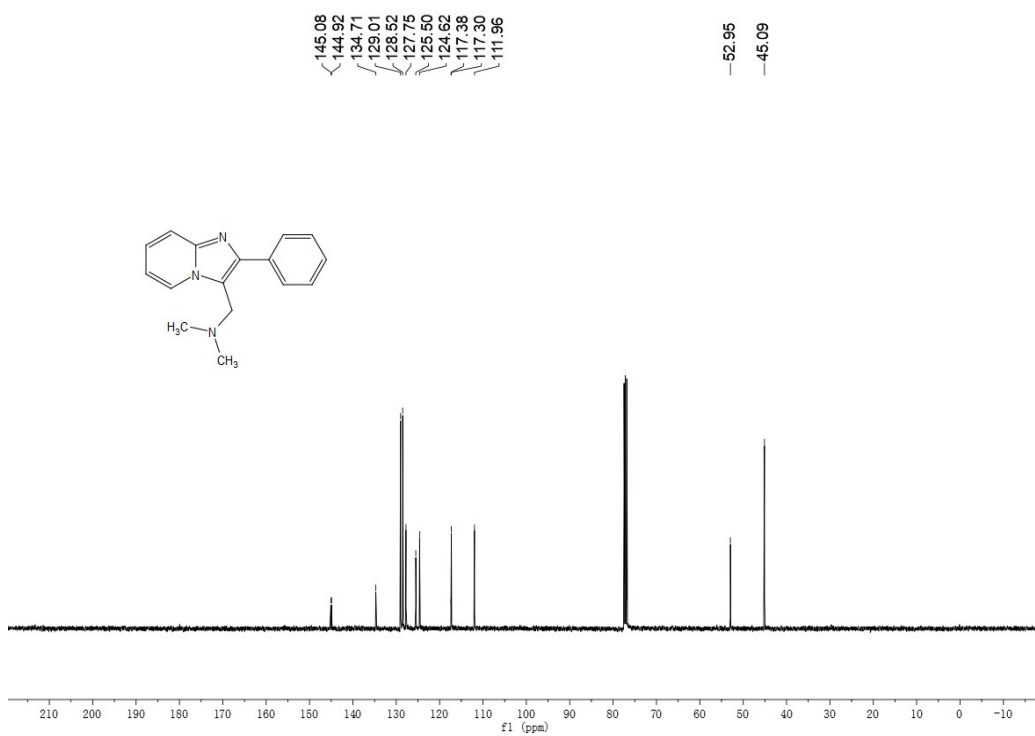
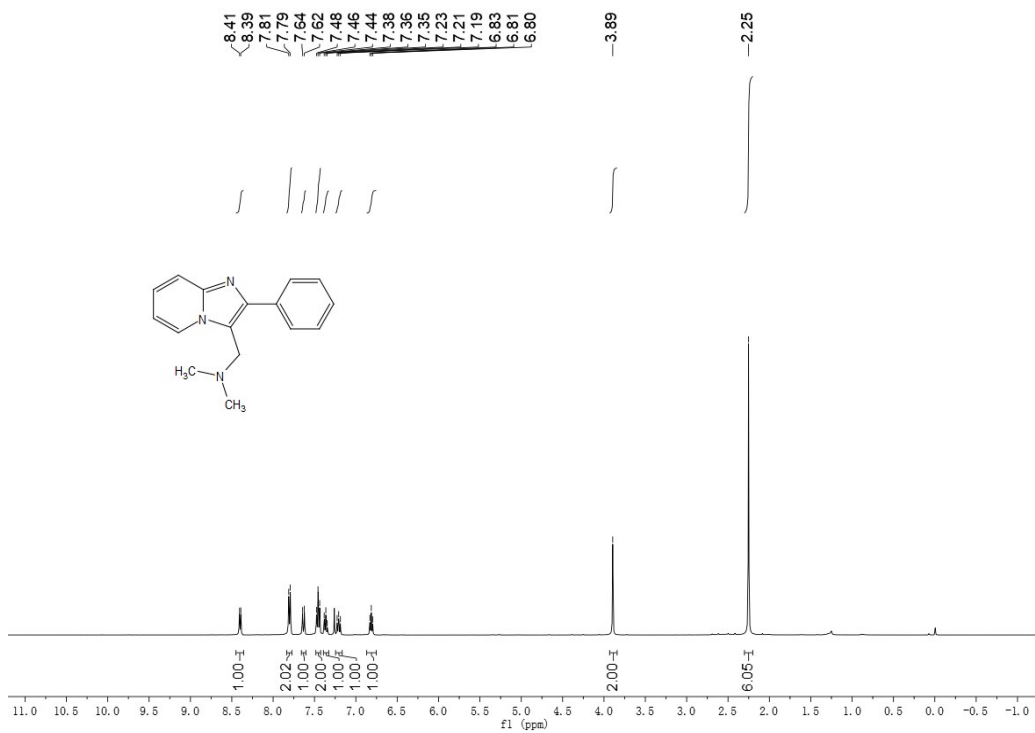
¹H NMR and ¹³C NMR spectrum of compound **5t**



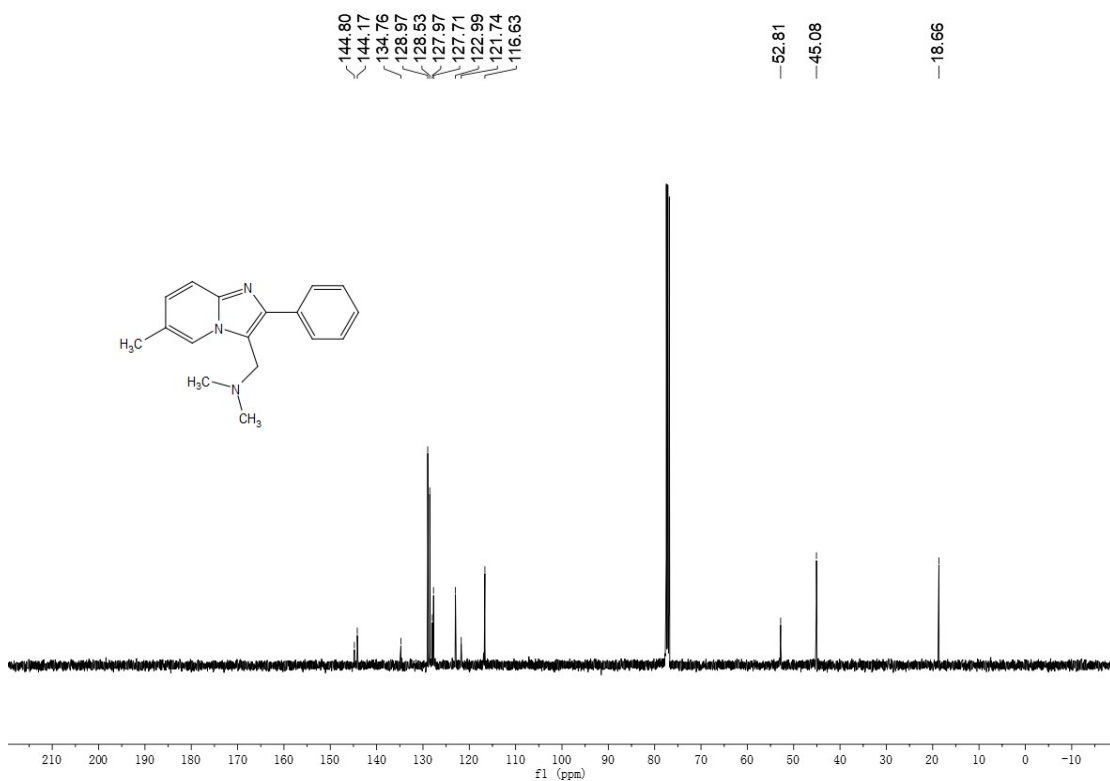
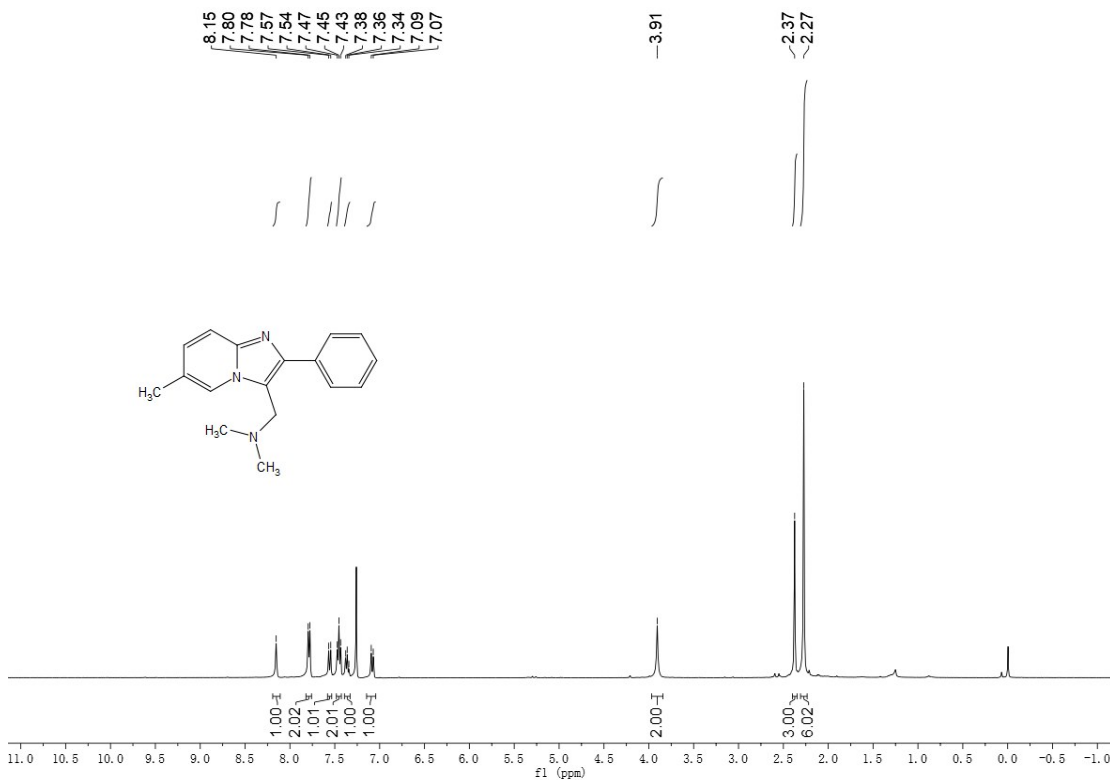
^1H NMR and ^{13}C NMR spectrum of compound **5u**



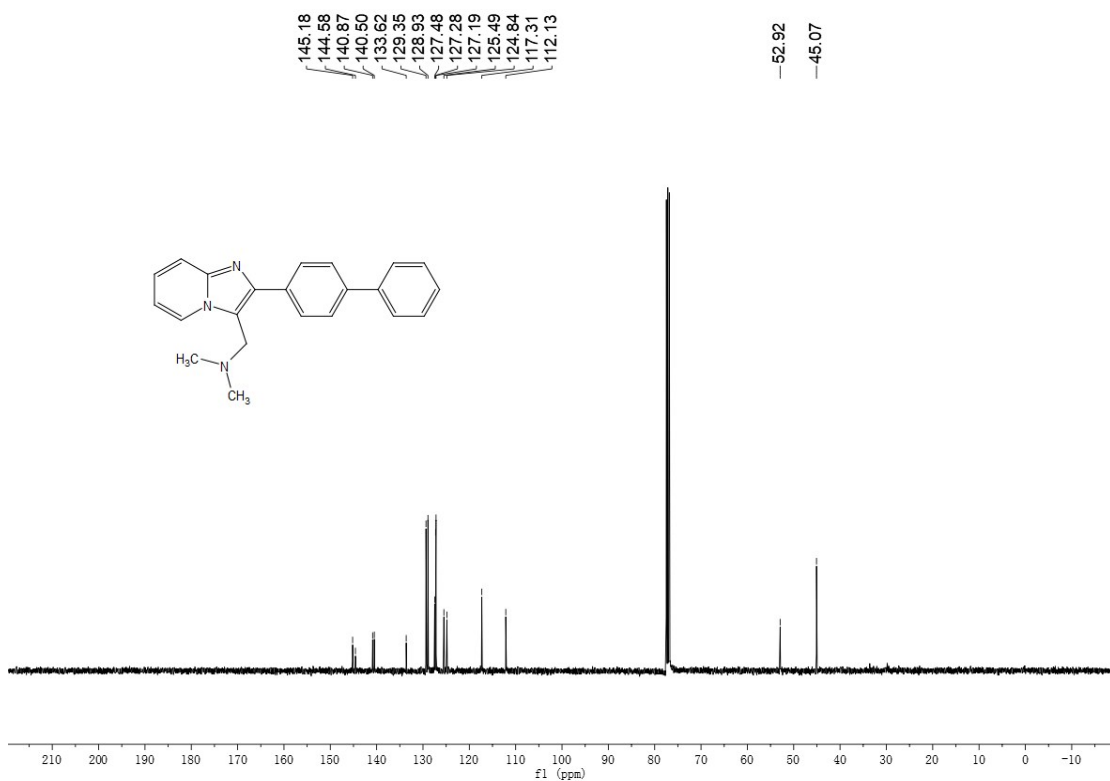
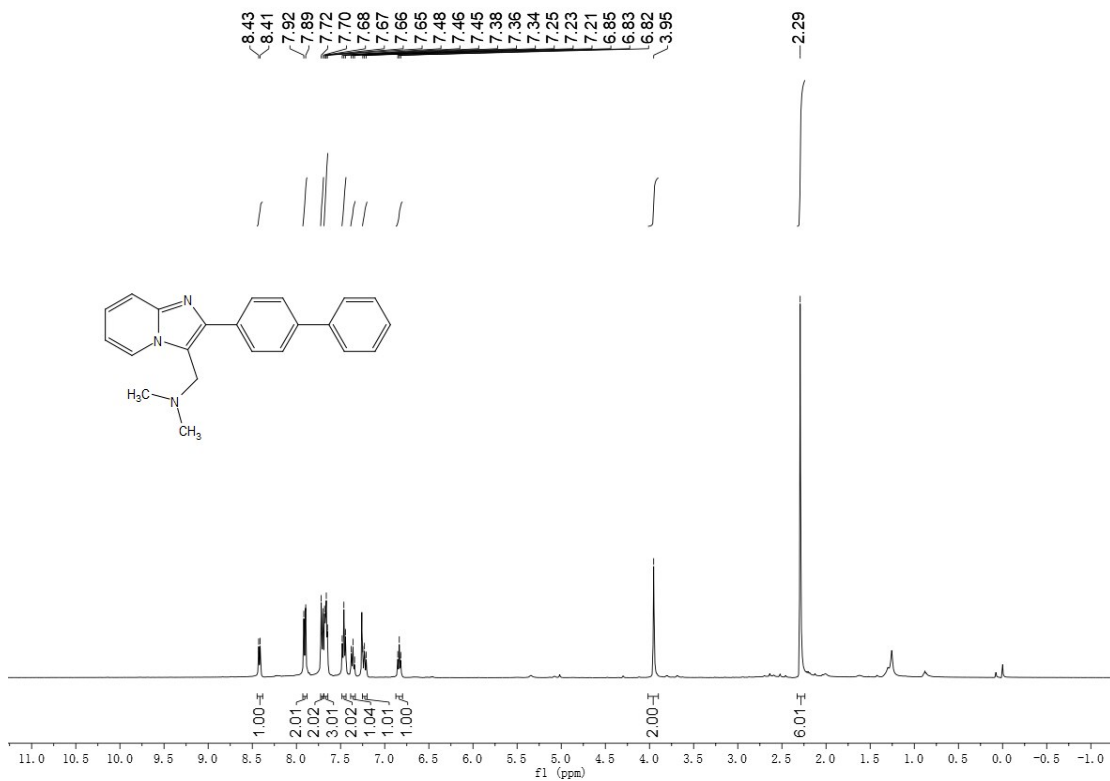
^1H NMR and ^{13}C NMR spectrum of compound **7a**



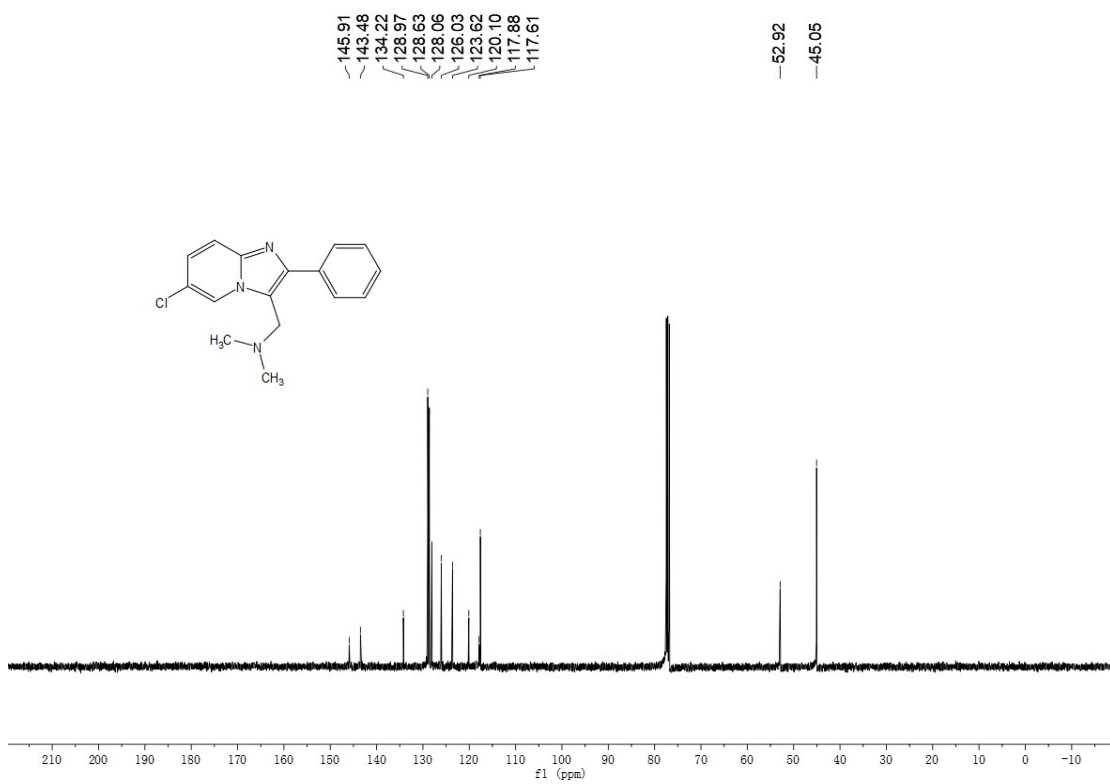
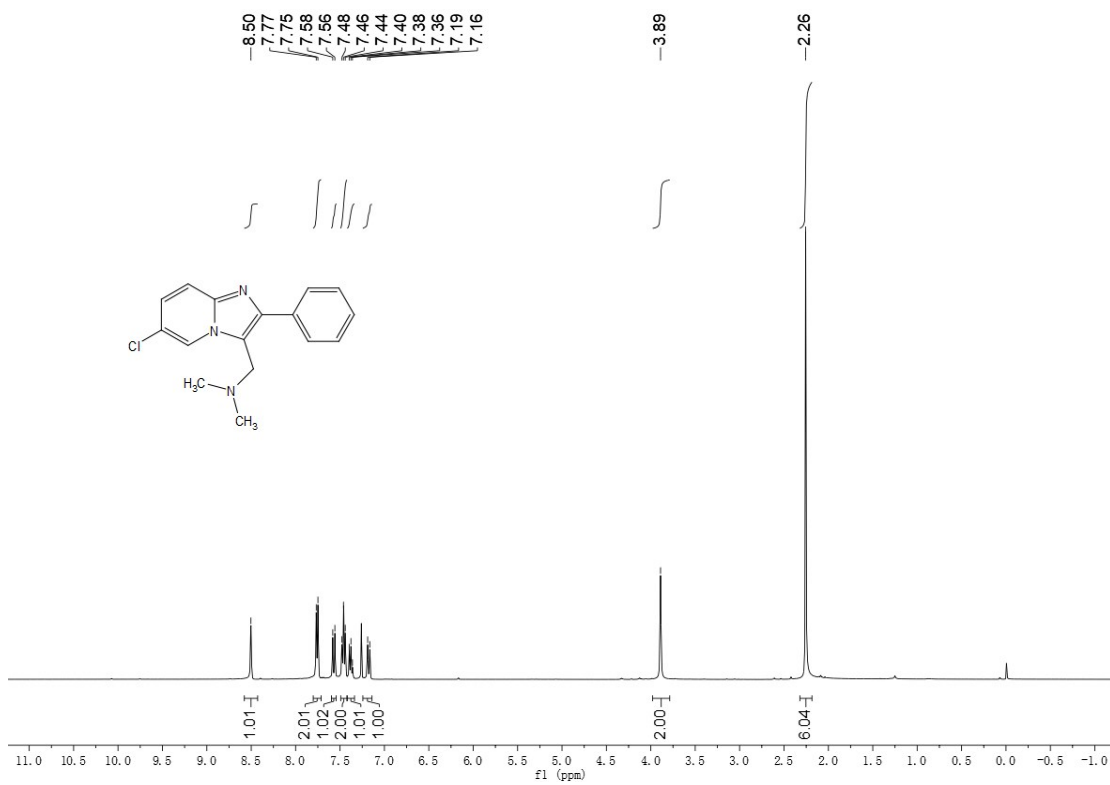
^1H NMR and ^{13}C NMR spectrum of compound **7b**



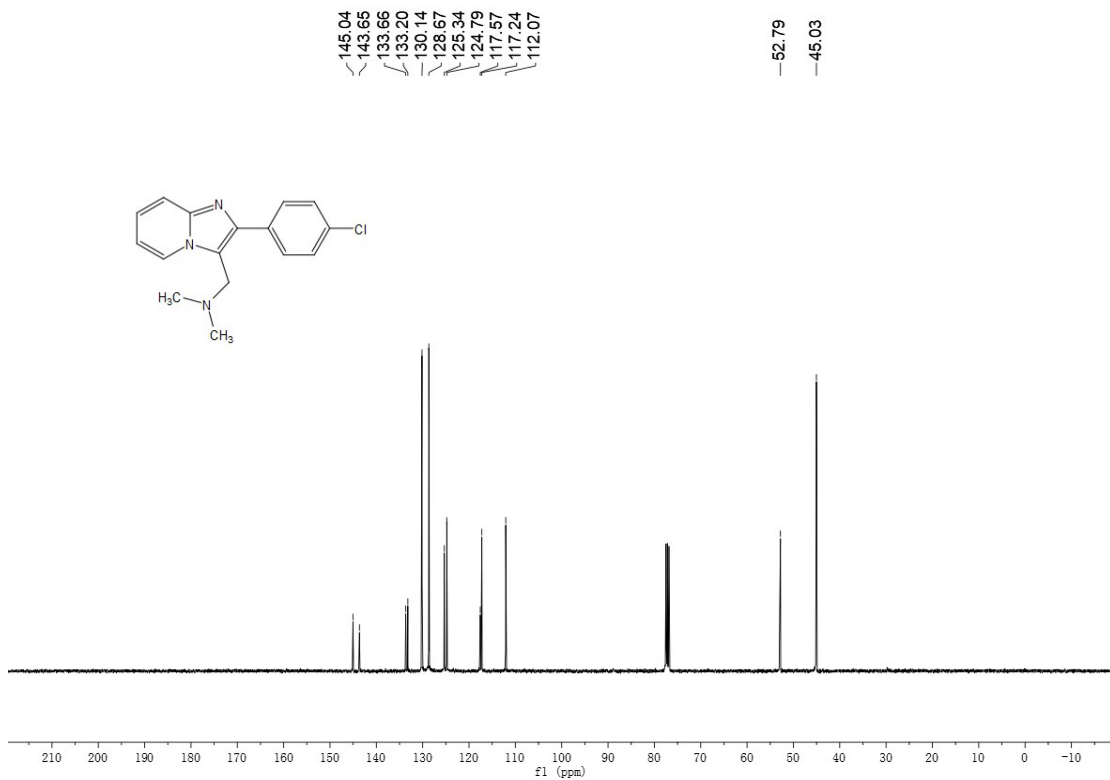
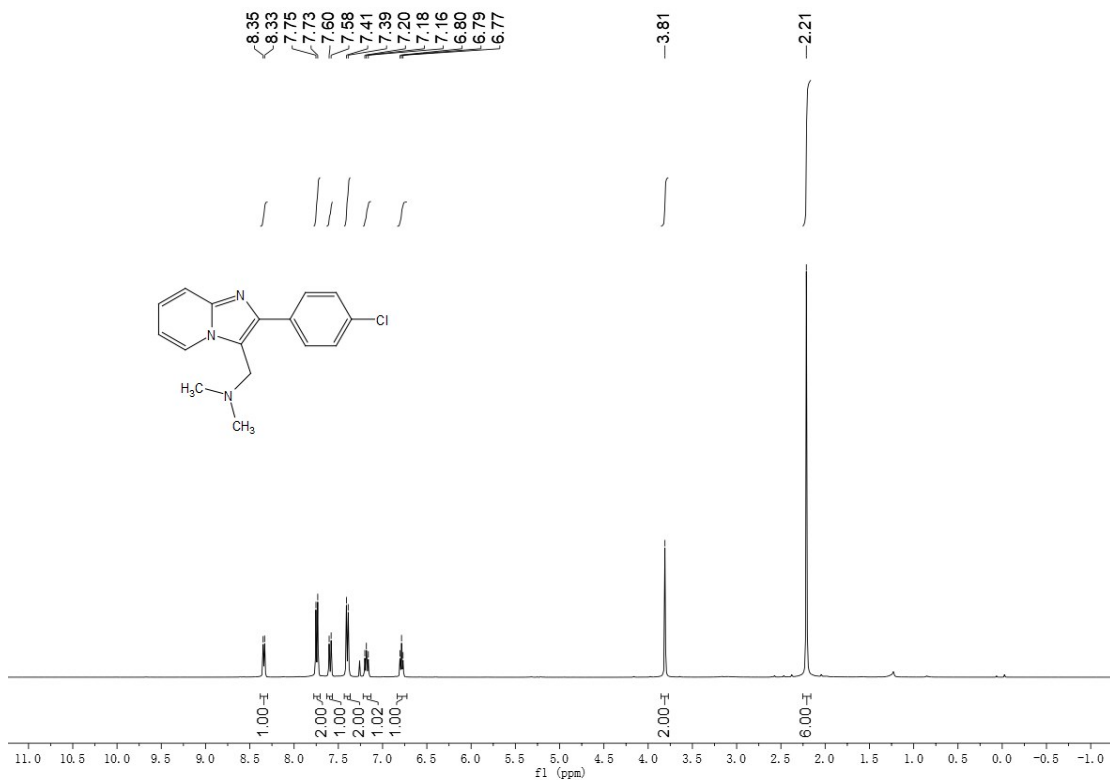
^1H NMR and ^{13}C NMR spectrum of compound **7c**



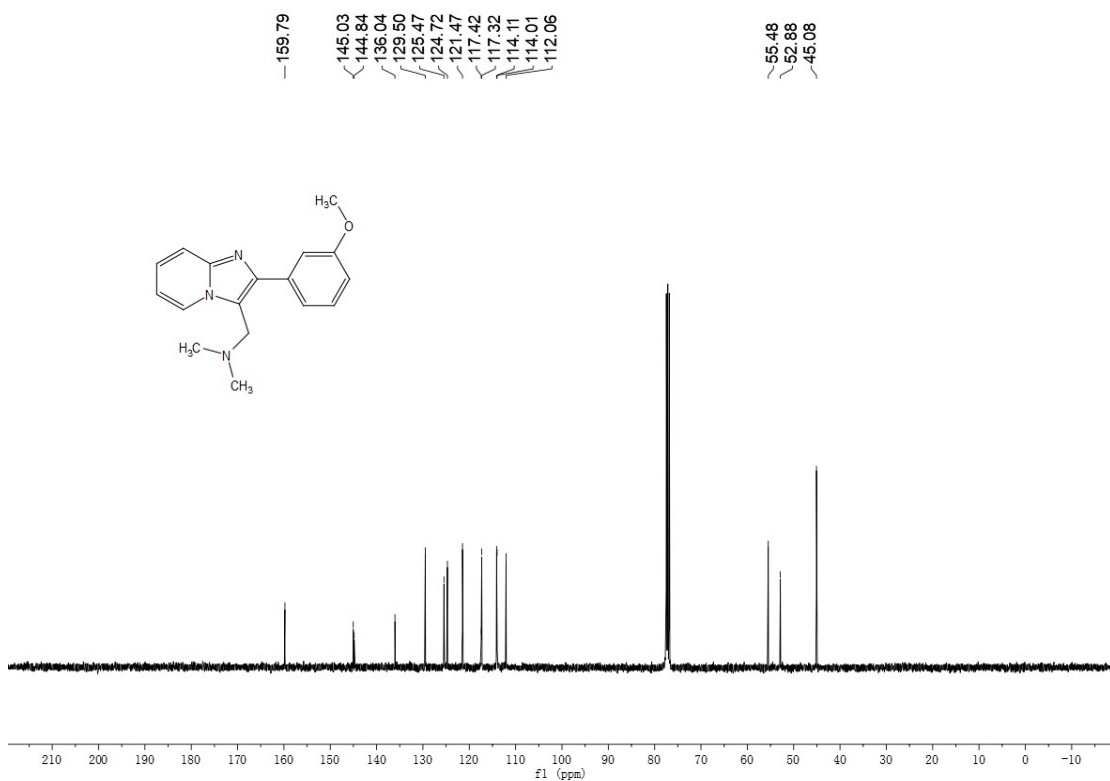
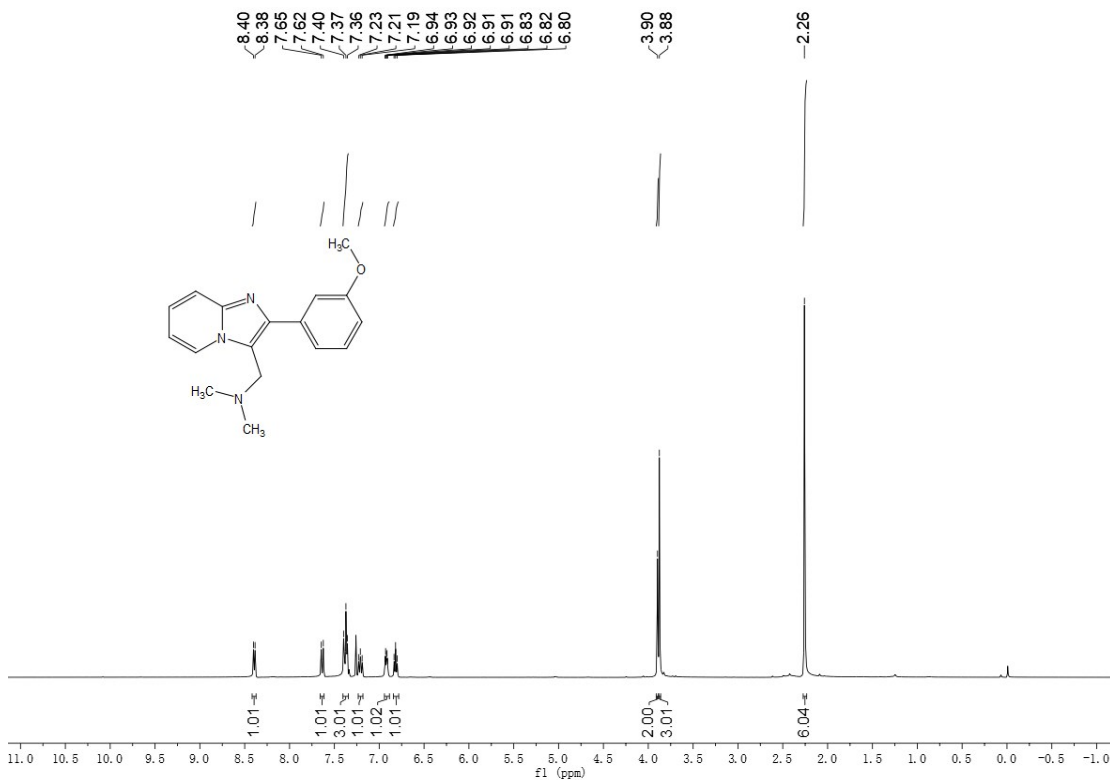
^1H NMR and ^{13}C NMR spectrum of compound **7d**



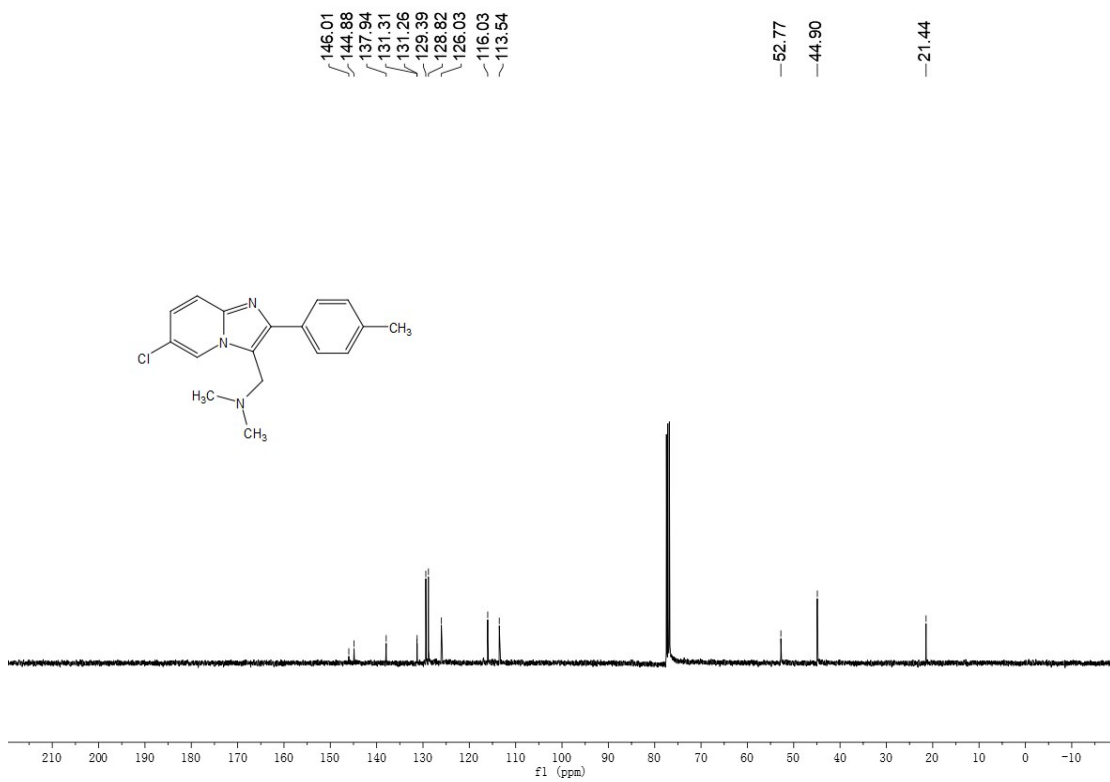
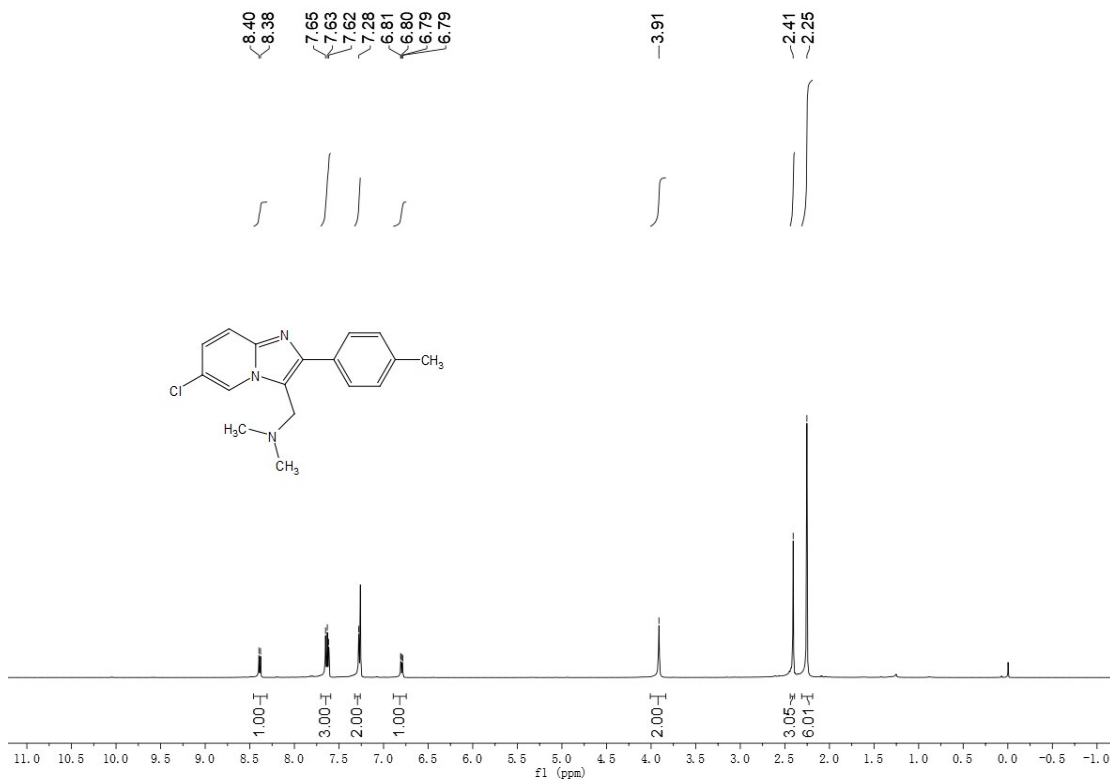
^1H NMR and ^{13}C NMR spectrum of compound **7e**



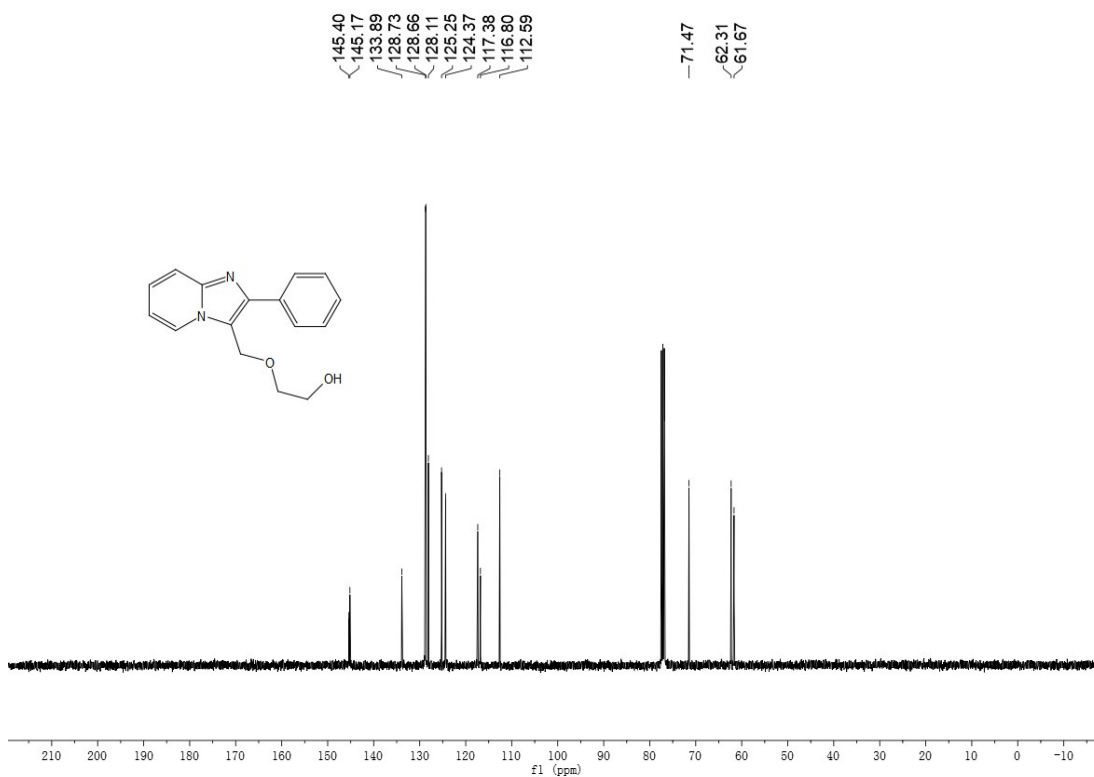
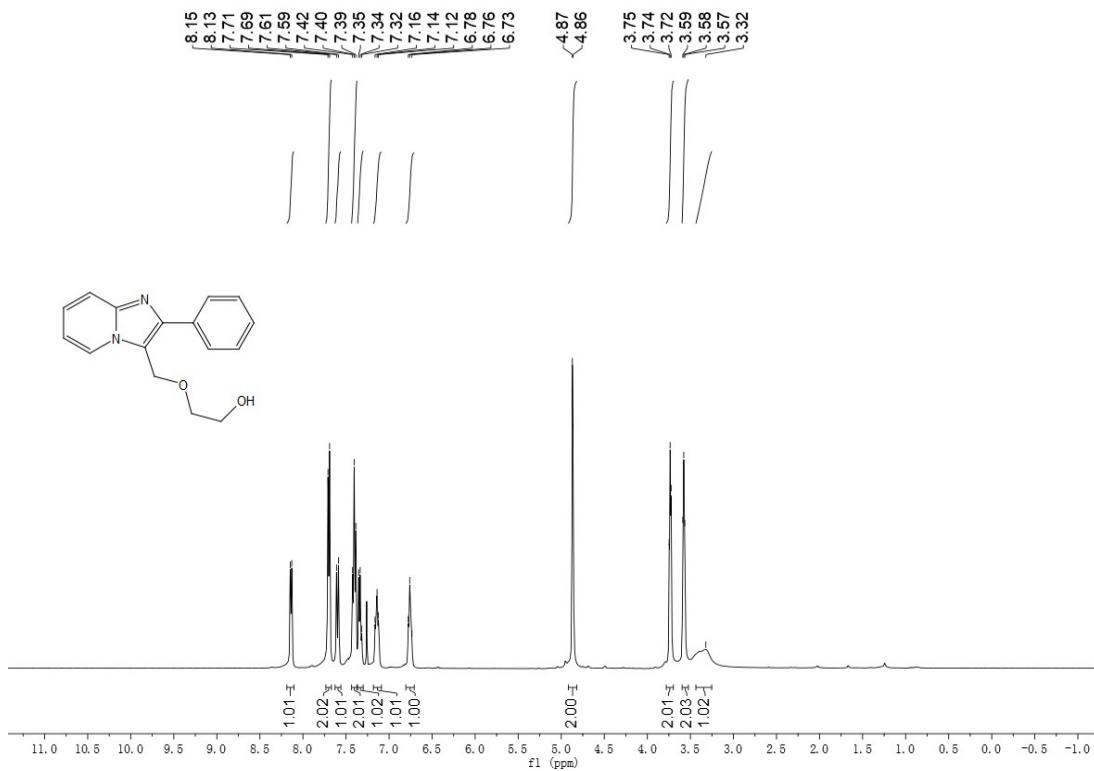
^1H NMR and ^{13}C NMR spectrum of compound **7f**



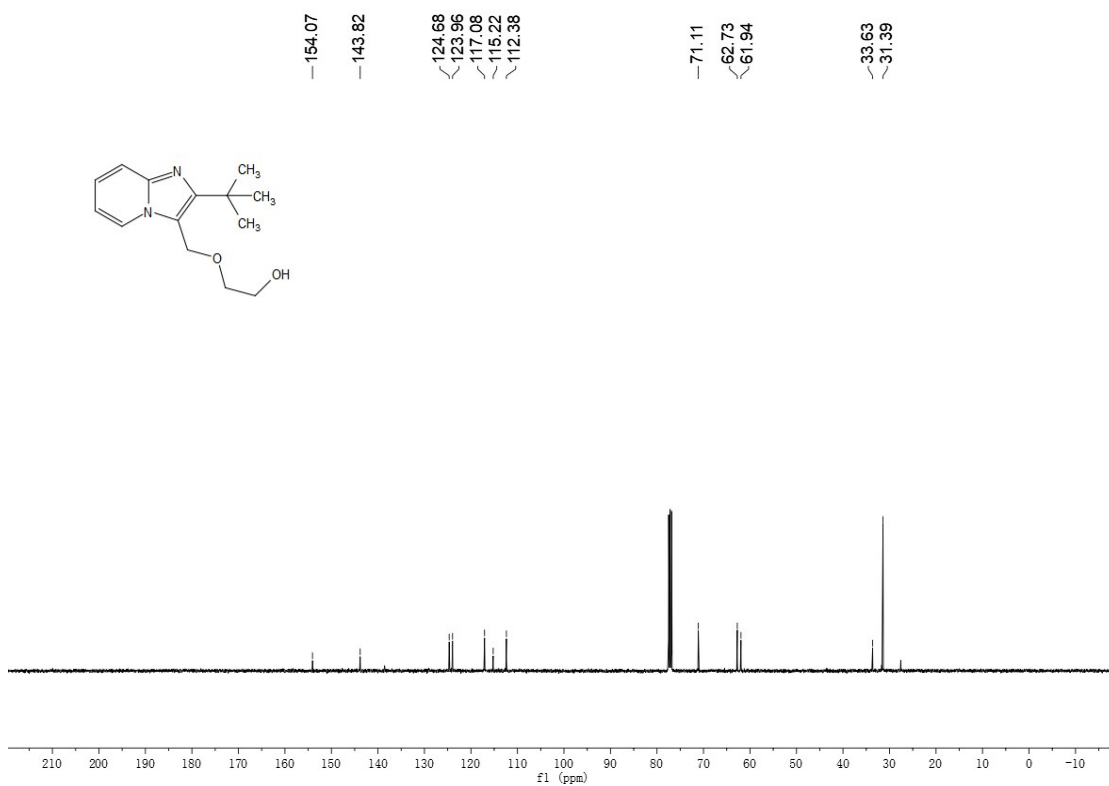
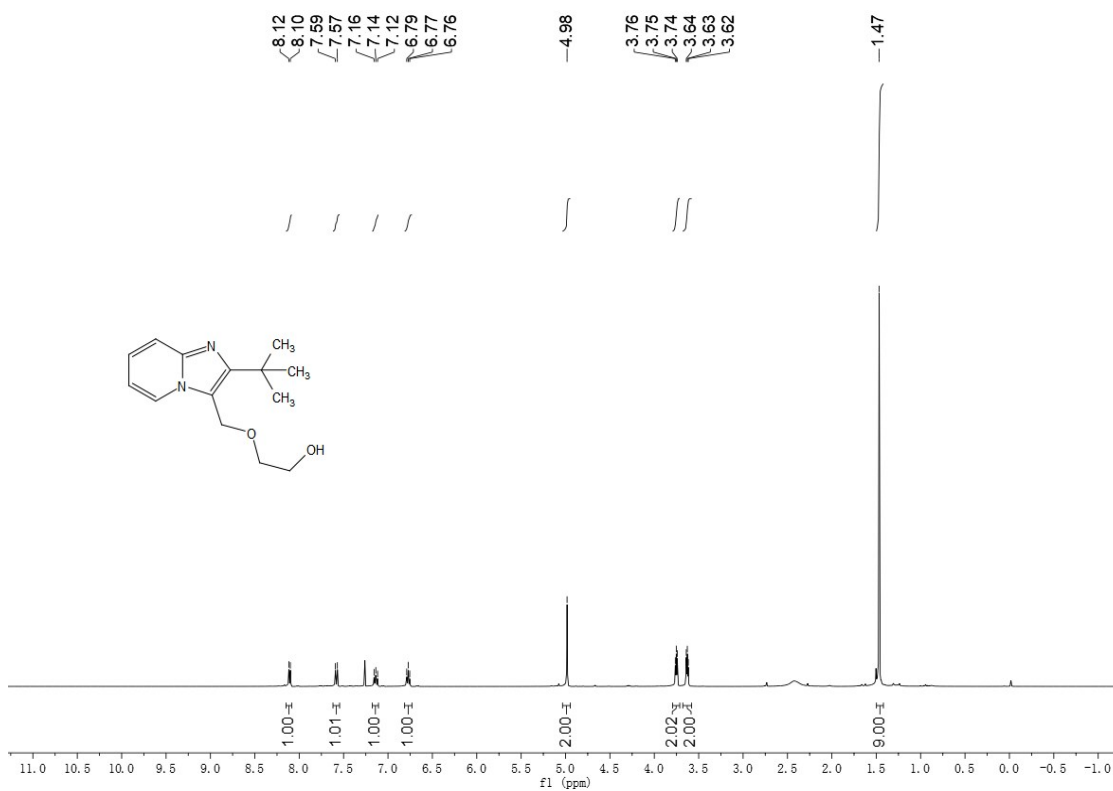
^1H NMR and ^{13}C NMR spectrum of compound **7g**



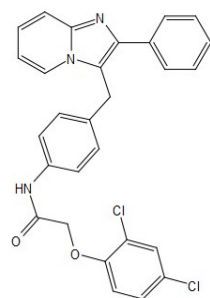
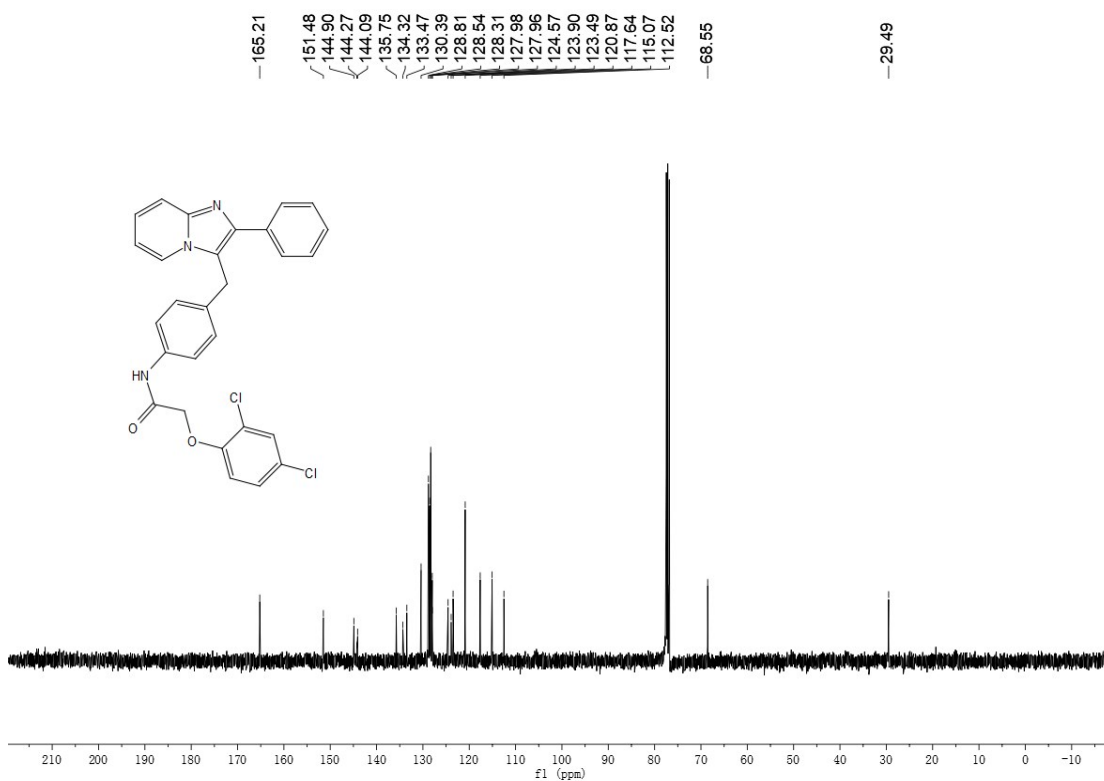
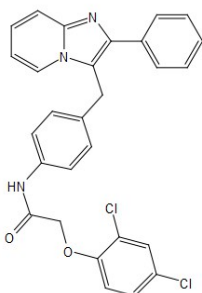
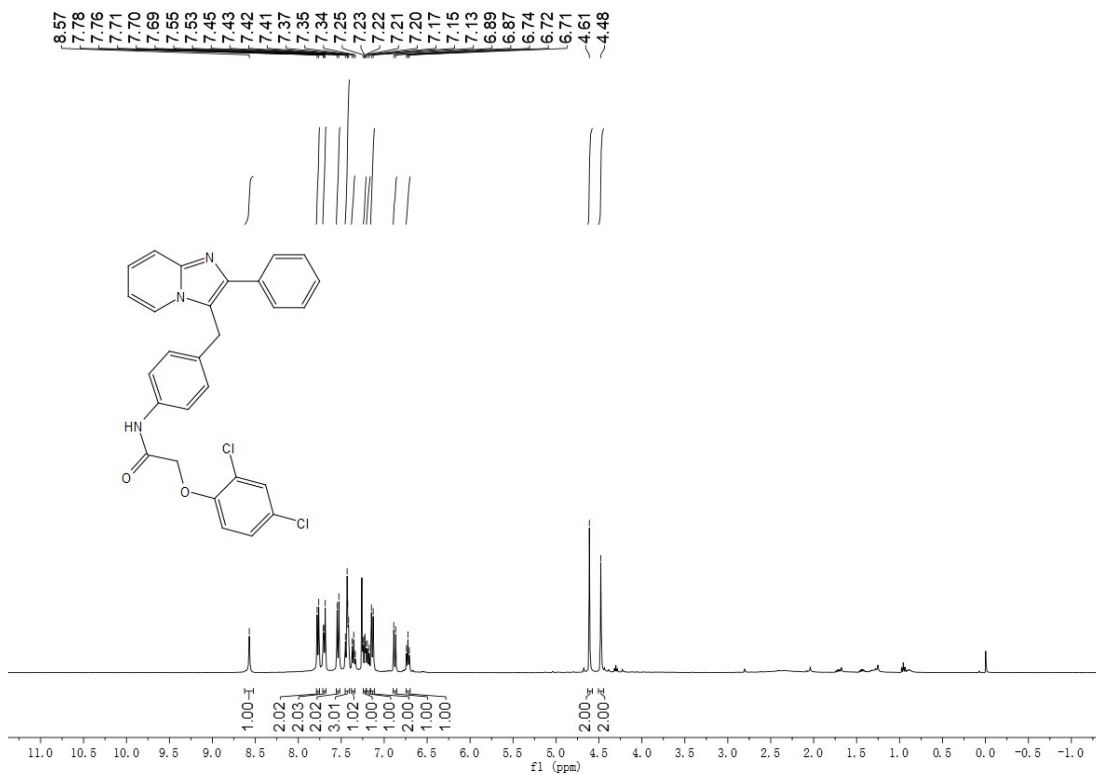
^1H NMR and ^{13}C NMR spectrum of compound **8a**



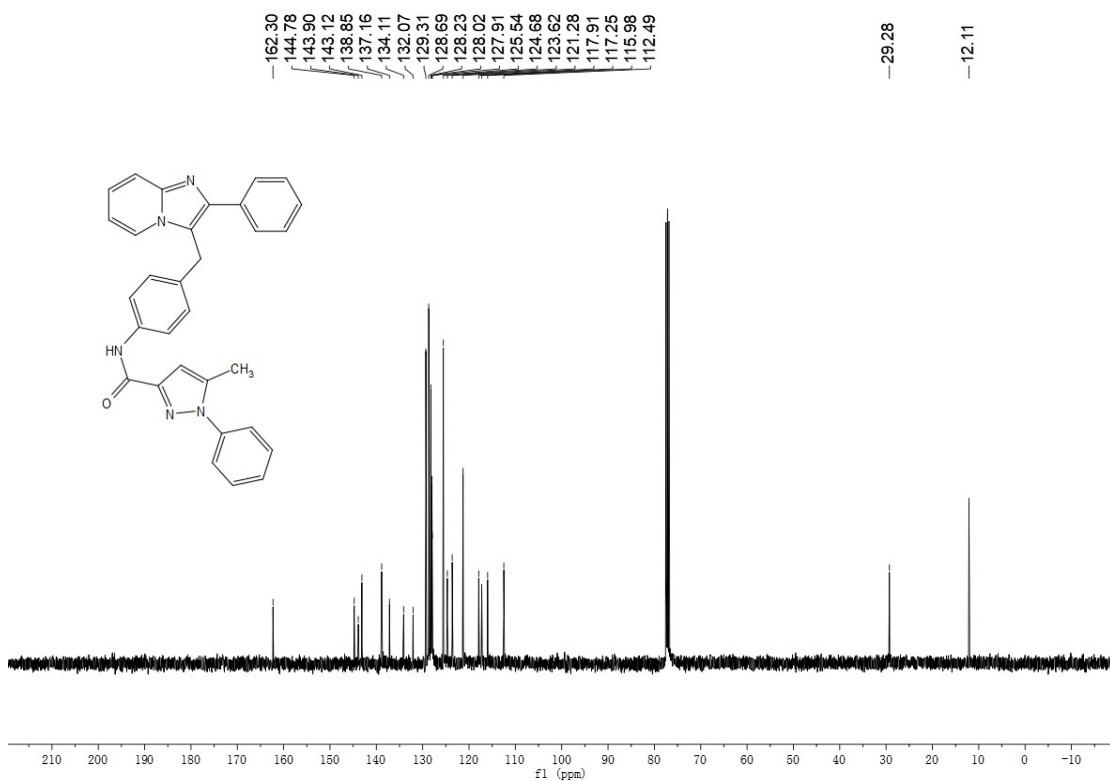
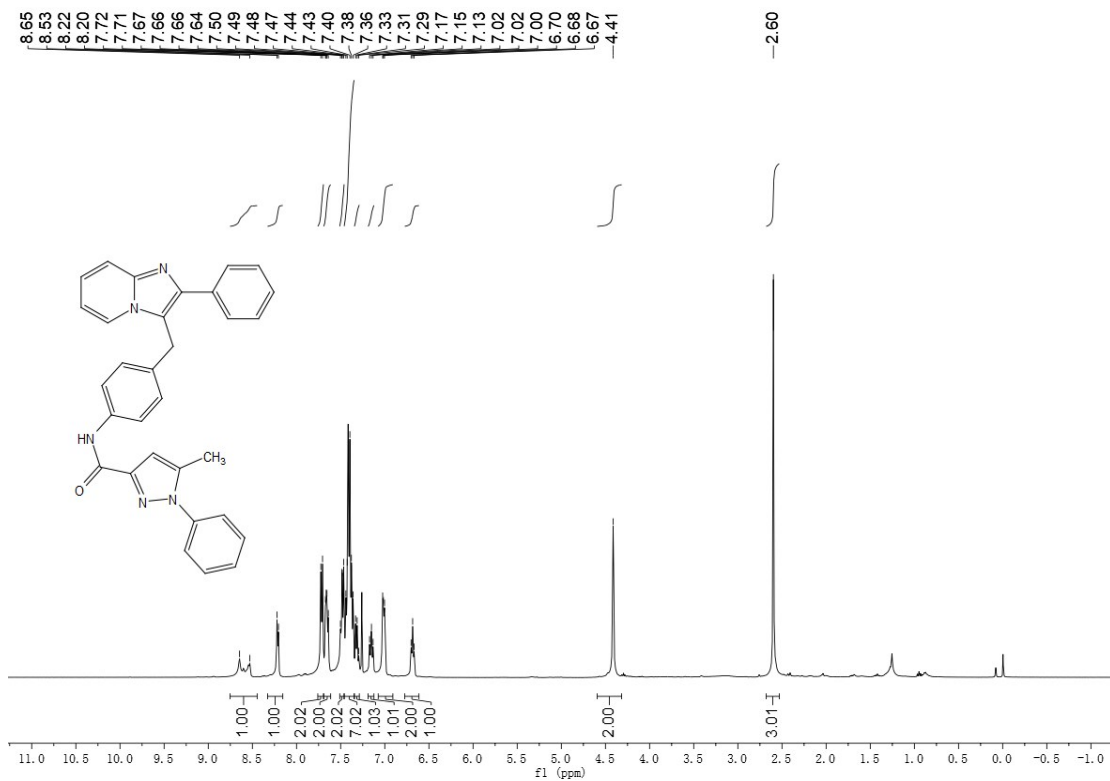
¹H NMR and ¹³C NMR spectrum of compound **8b**



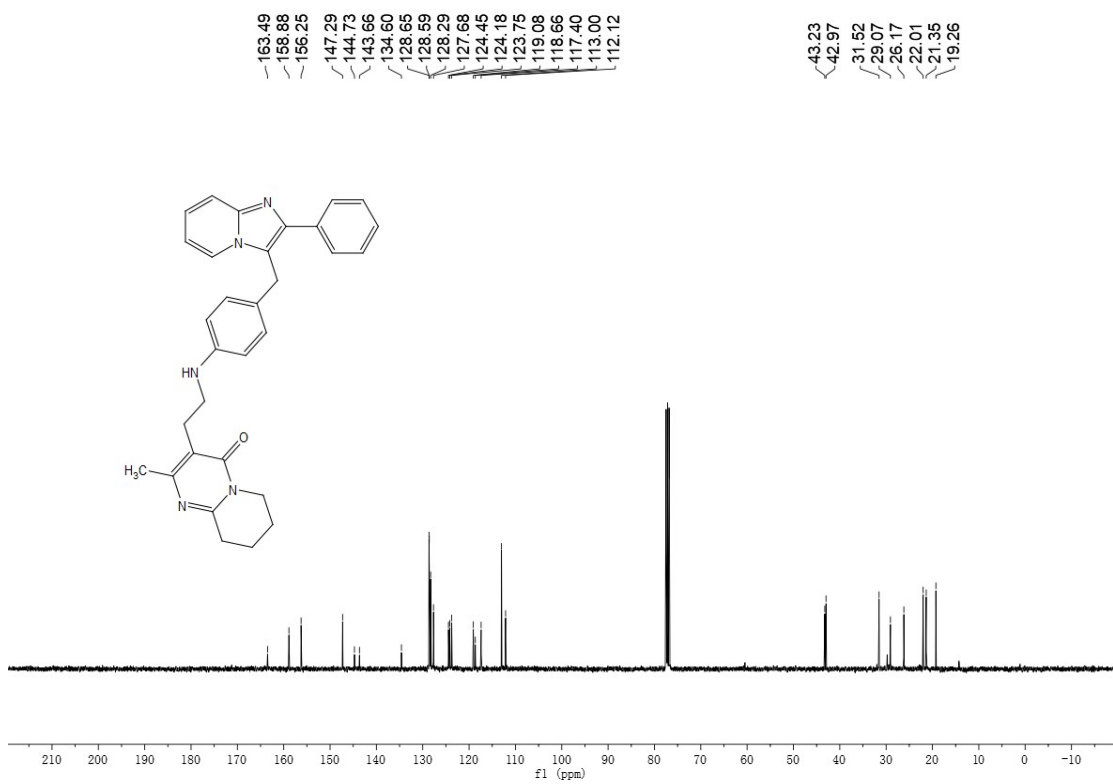
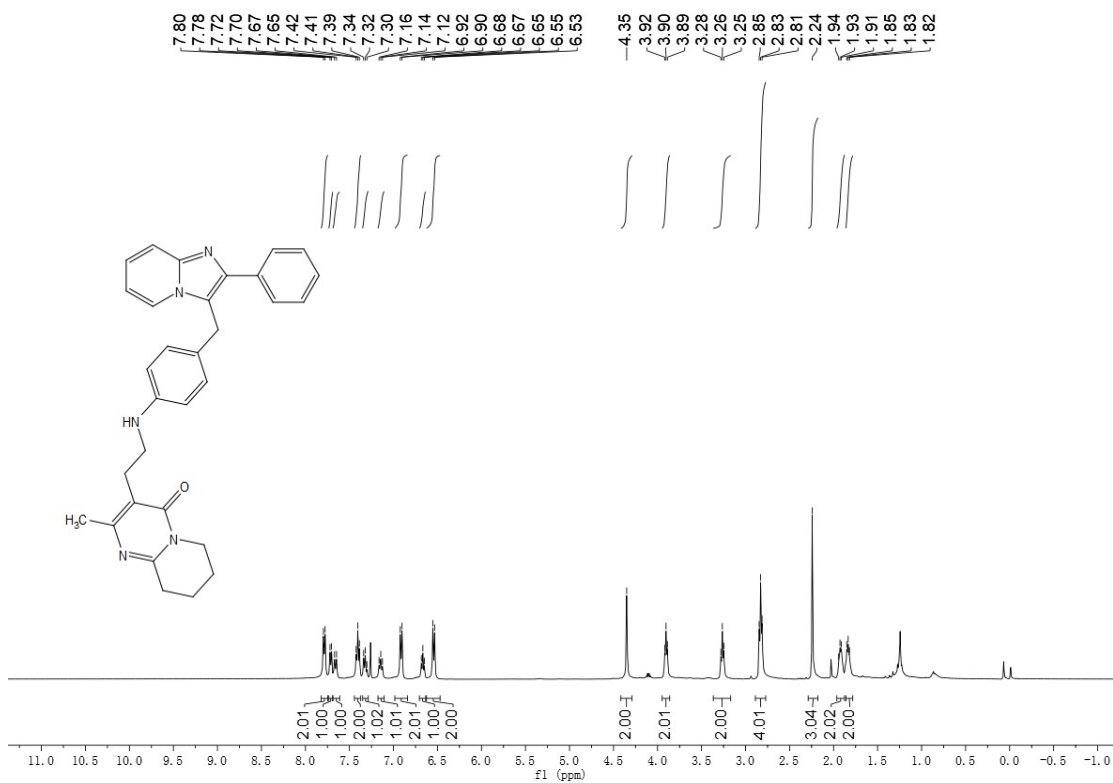
^1H NMR and ^{13}C NMR spectrum of compound **10a**



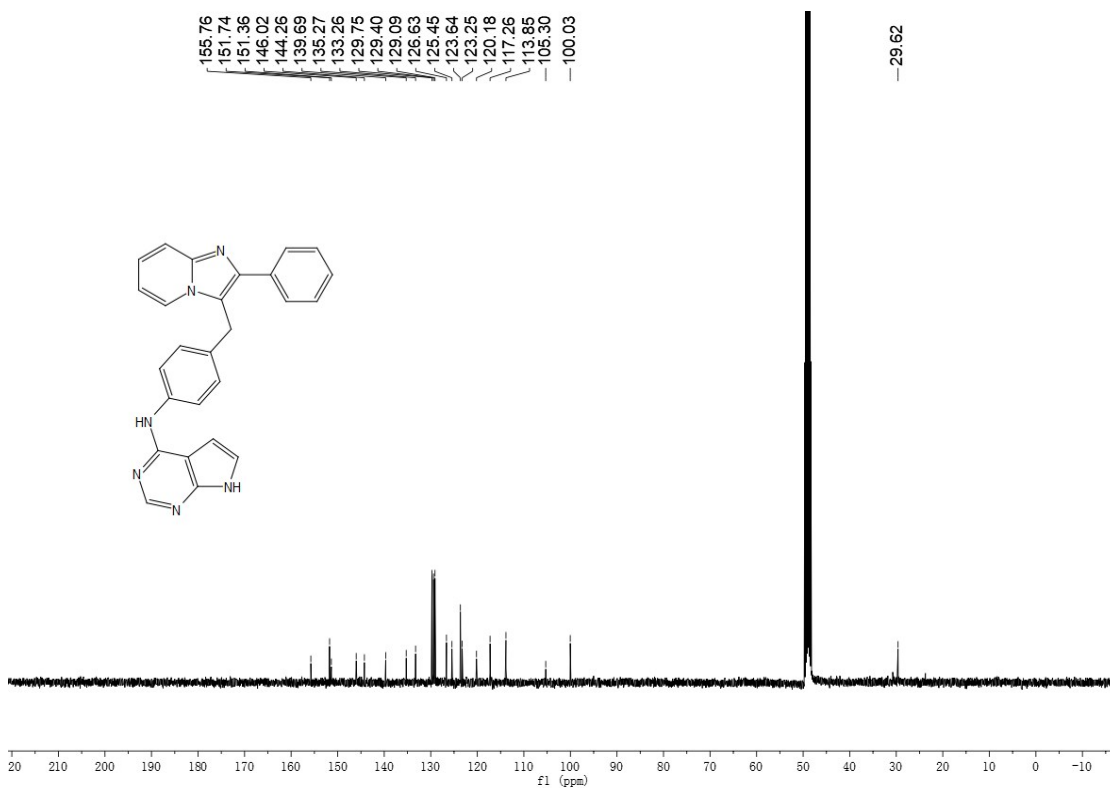
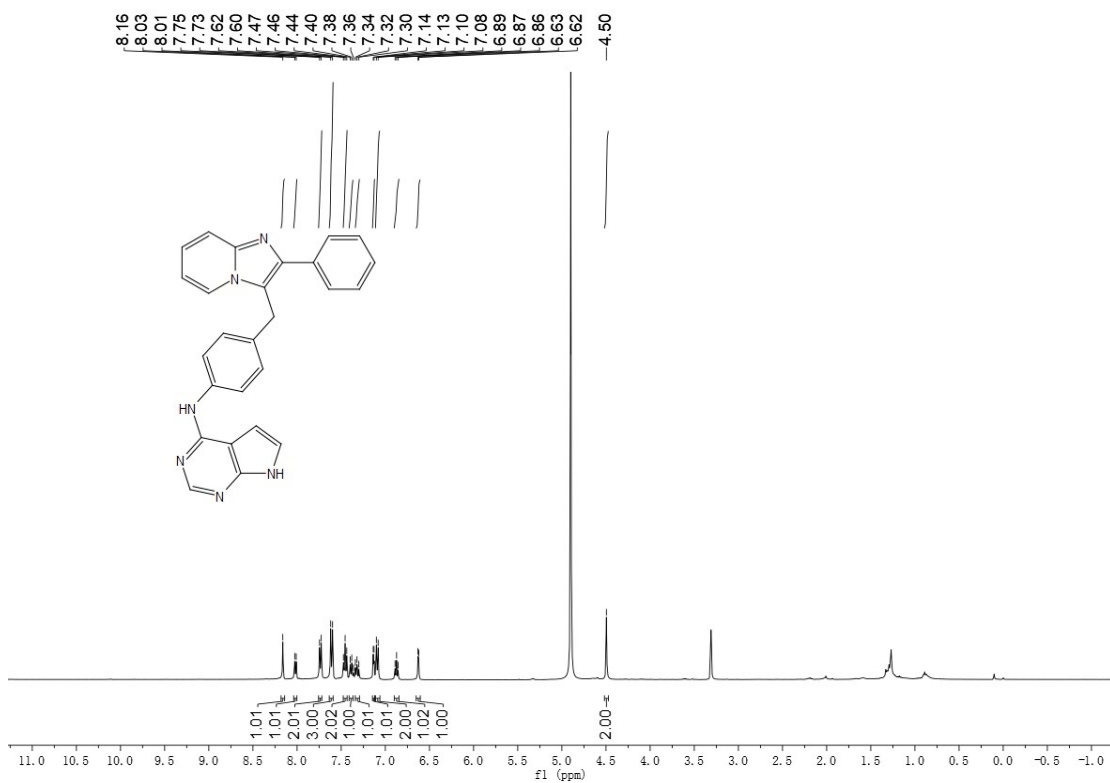
^1H NMR and ^{13}C NMR spectrum of compound **10b**



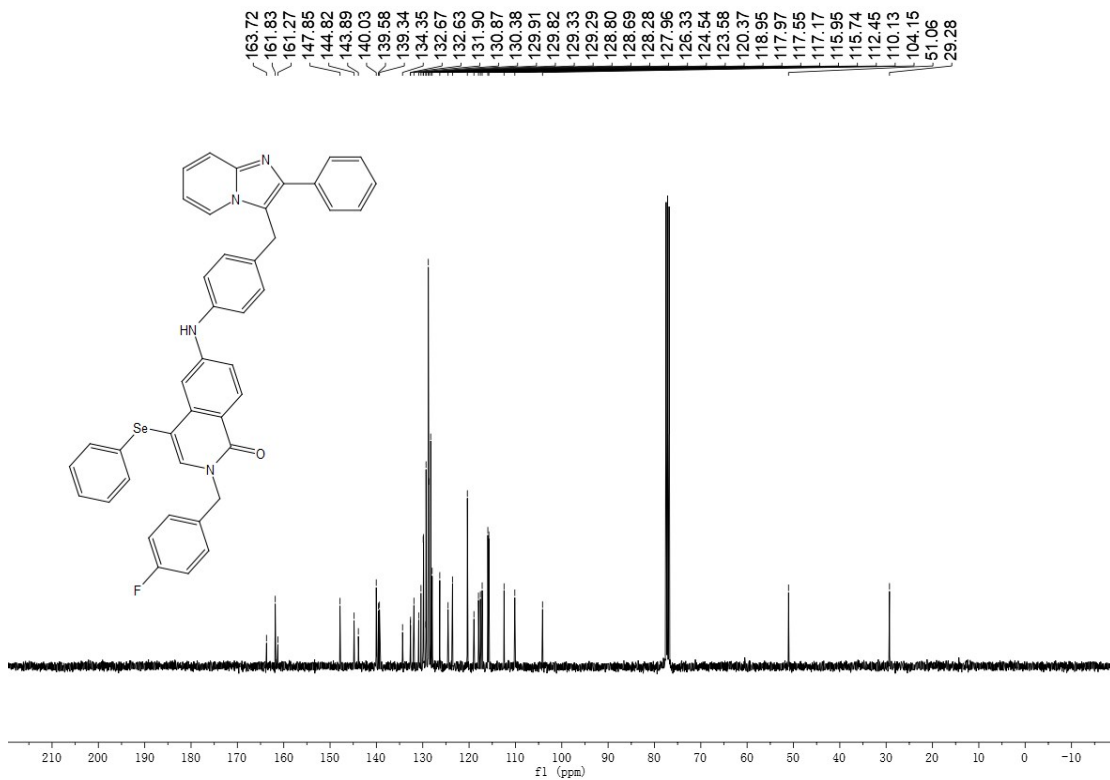
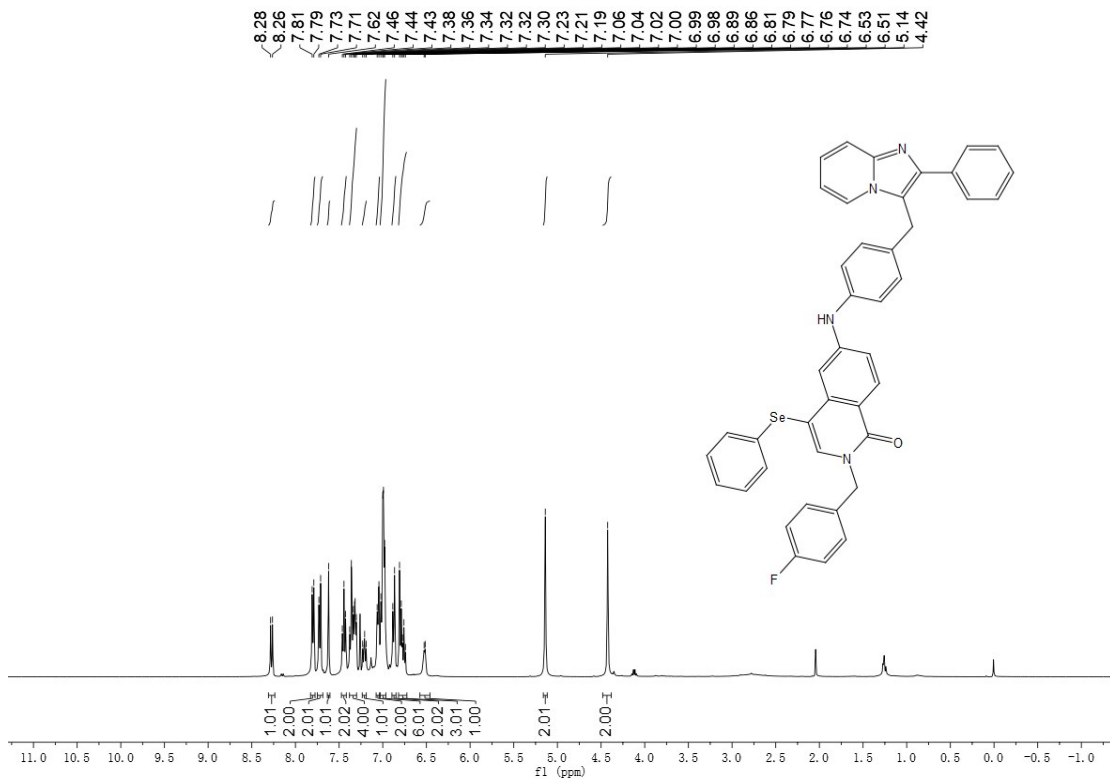
^1H NMR and ^{13}C NMR spectrum of compound **10c**



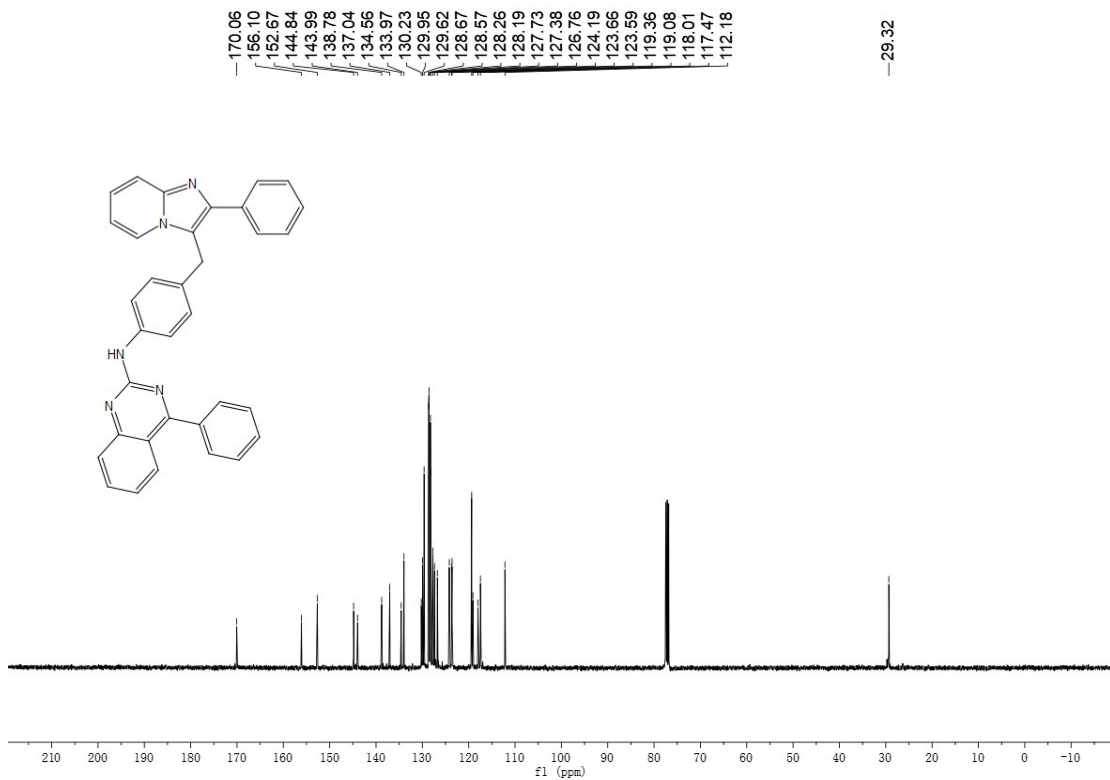
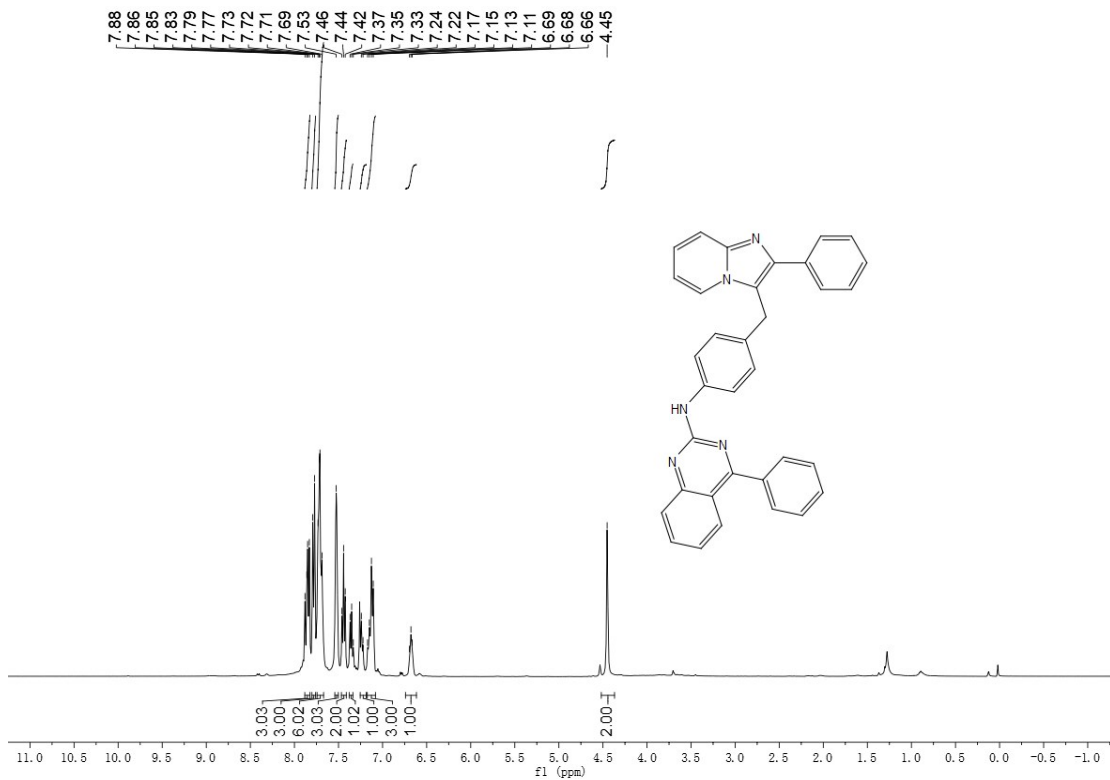
^1H NMR and ^{13}C NMR spectrum of compound **10d**



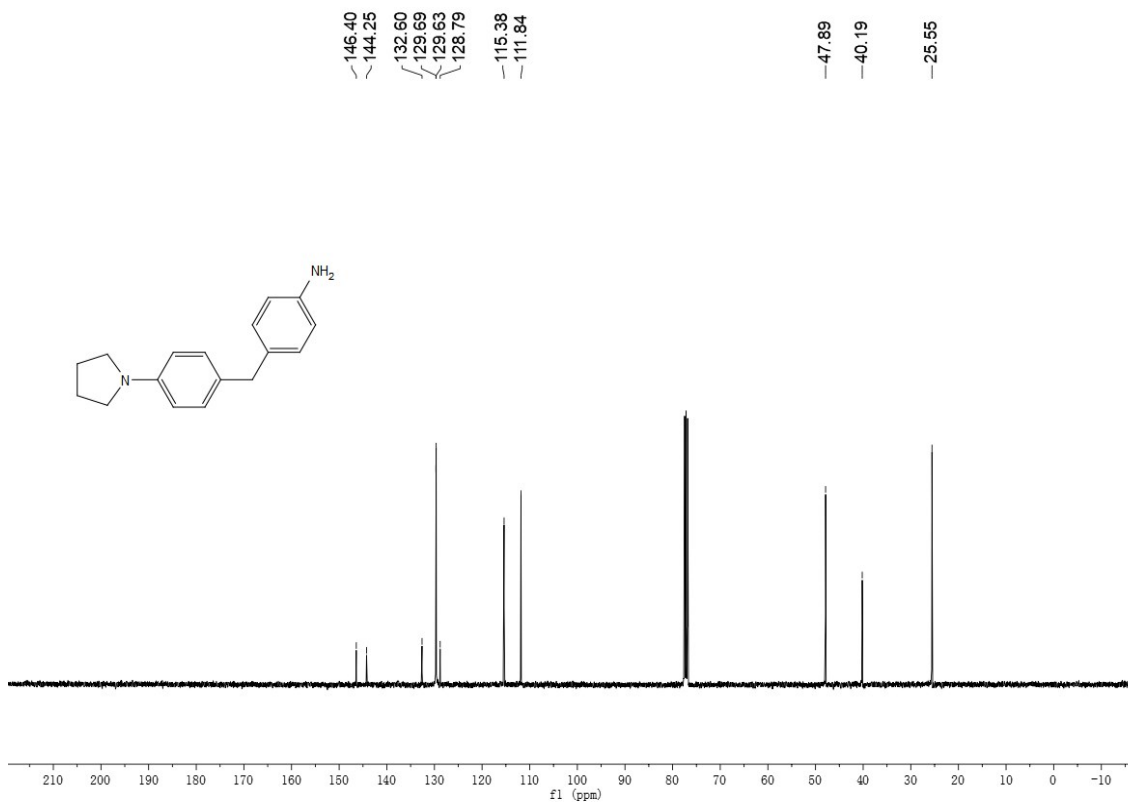
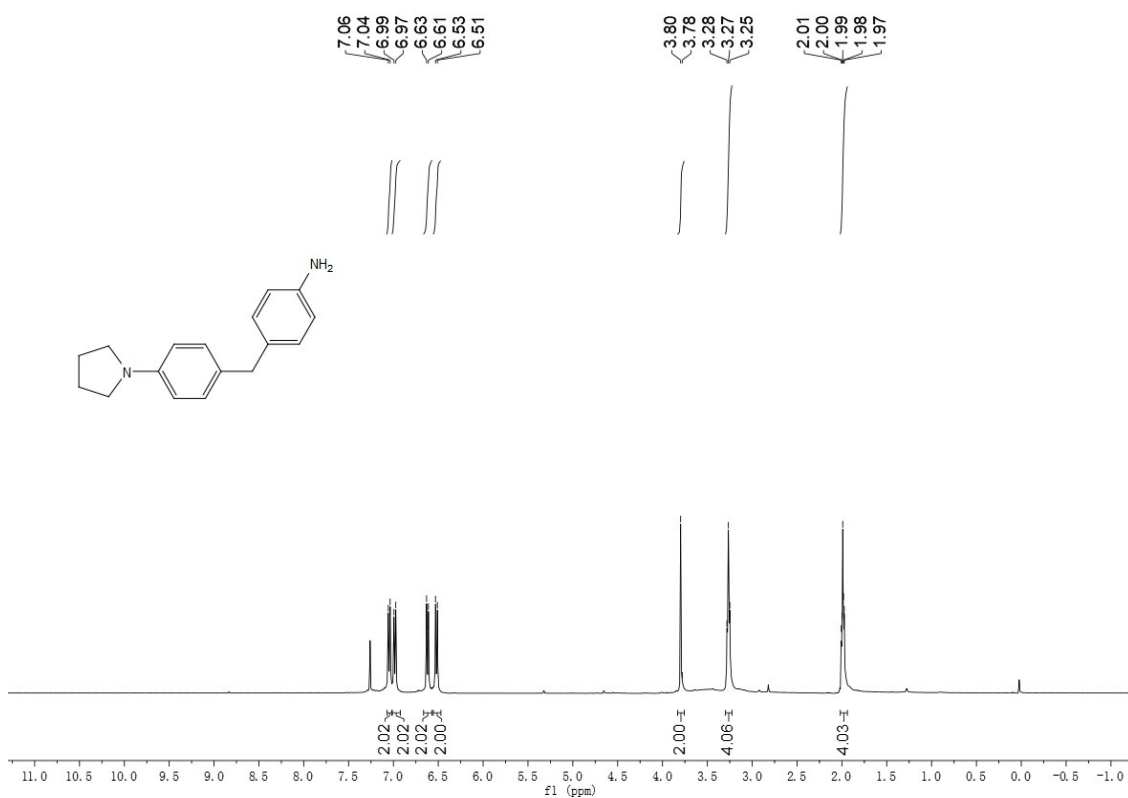
^1H NMR and ^{13}C NMR spectrum of compound **10e**



¹H NMR and ¹³C NMR spectrum of compound **10f**

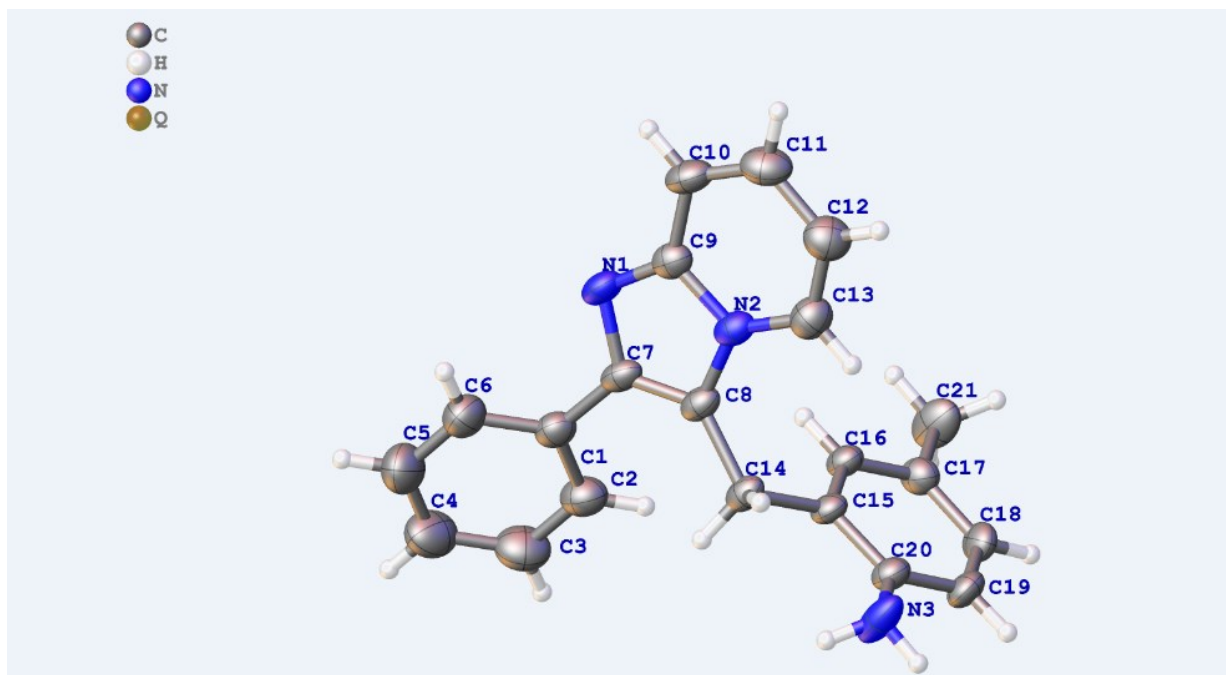


^1H NMR and ^{13}C NMR spectrum of compound **12f**



4. X-ray crystallographic data

Figure 1 X-ray single crystal structure of **3ad**



Single crystals of **3ad** were grown by slow evaporation of its EA/PE solution. Single-crystal X-ray diffraction data were collected with a 'multiwire proportional' diffractometer. The crystal was kept at 149.99 K during data collection. Using Olex2, the structure was solved with the olex2.solve structure solution program using Charge Flipping and refined with the olex2.refine refinement package using Least Squares minimization. Supplementary crystallographic data have been deposited at the Cambridge Crystallographic Data Center (CCDC 2071329).

Table 1 Crystal data and structure refinement for **3ad**

Identification code	354s-2
Empirical formula	C ₂₁ H ₁₉ N ₃
Formula weight	313.39
Temperature/K	149.99(10)
Crystal system	monoclinic
Space group	P2 ₁ /n
a/Å	9.5361(5)
b/Å	11.7175(7)
c/Å	15.5248(11)
α /°	90
β /°	91.900(5)
γ /°	90
Volume/Å ³	1733.78(18)
Z	4
ρ_{calc} /cm ³	1.201
μ /mm ⁻¹	0.558

F(000)	664.0
Crystal size/mm ³	0.13 × 0.12 × 0.1
Radiation	Cu K α (λ = 1.54184)
2 θ range for data collection/°	9.458 to 147.036
Index ranges	-11 ≤ h ≤ 6, -13 ≤ k ≤ 14, -18 ≤ l ≤ 19
Reflections collected	6918
Independent reflections	3405 [R _{int} = 0.0691, R _{sigma} = 0.0715]
Data/restraints/parameters	3405/0/219
Goodness-of-fit on F ²	1.143
Final R indexes [I ≥ 2 σ (I)]	R ₁ = 0.1040, wR ₂ = 0.2820
Final R indexes [all data]	R ₁ = 0.1163, wR ₂ = 0.2933

Table 2 Bond Lengths for **3ad**

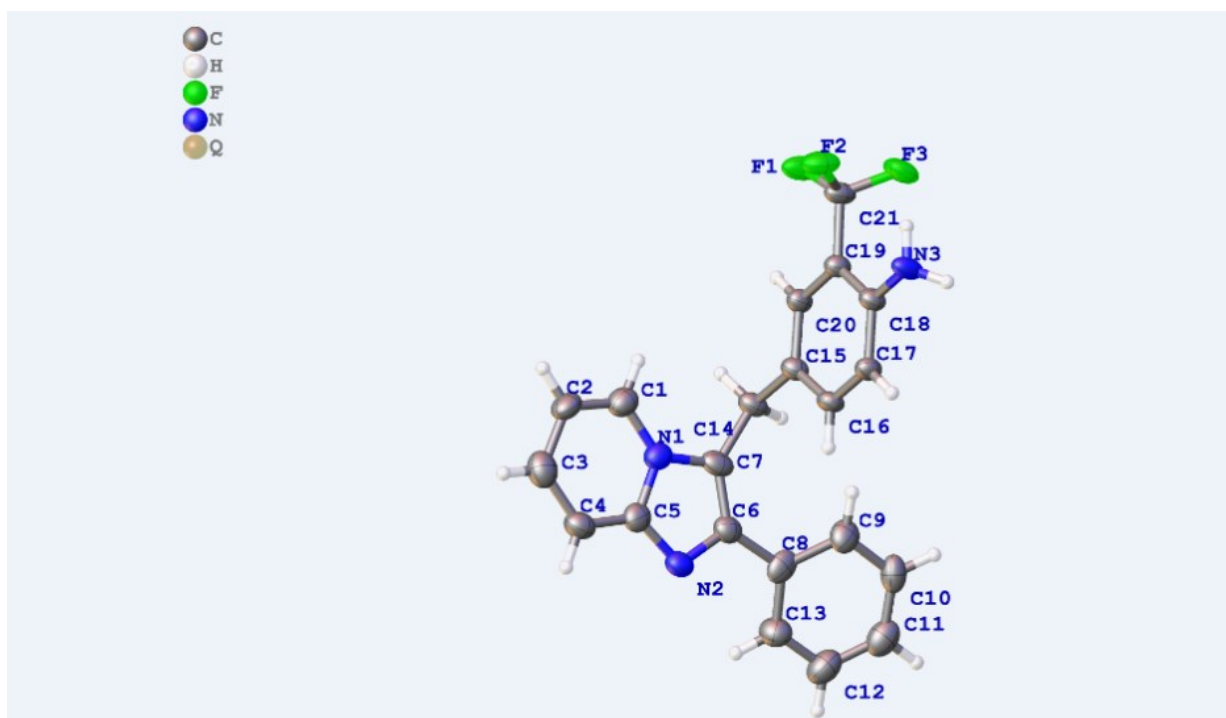
Atom	Atom	Length/Å	Atom	Atom	Length/Å
N1	C7	1.376(4)	C8	C14	1.502(4)
N1	C9	1.338(5)	C9	C10	1.420(5)
N2	C8	1.393(5)	C10	C11	1.370(6)
N2	C9	1.380(4)	C11	C12	1.414(6)
N2	C13	1.366(5)	C12	C13	1.345(6)
N3	C20	1.388(5)	C14	C15	1.516(4)
C1	C2	1.400(5)	C15	C16	1.385(5)
C1	C6	1.385(6)	C15	C20	1.404(5)
C1	C7	1.486(5)	C16	C17	1.393(5)
C2	C3	1.382(6)	C17	C18	1.393(5)
C3	C4	1.398(8)	C17	C21	1.498(5)
C4	C5	1.364(7)	C18	C19	1.380(5)
C5	C6	1.389(6)	C19	C20	1.387(5)
C7	C8	1.359(5)			

Table 3 Bond Angles for **3ad**

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C9	N1	C7	104.2(3)	N1	C9	C10	130.0(3)
C9	N2	C8	106.8(3)	N2	C9	C10	118.5(3)
C13	N2	C8	130.6(3)	C11	C10	C9	118.7(3)
C13	N2	C9	122.6(3)	C10	C11	C12	120.2(4)
C2	C1	C7	120.7(3)	C13	C12	C11	120.9(4)
C6	C1	C2	119.1(4)	C12	C13	N2	119.1(4)
C6	C1	C7	120.2(3)	C8	C14	C15	116.8(3)
C3	C2	C1	120.8(4)	C16	C15	C14	121.7(3)
C2	C3	C4	119.2(4)	C16	C15	C20	119.4(3)
C5	C4	C3	120.1(4)	C20	C15	C14	118.9(3)
C4	C5	C6	121.1(5)	C15	C16	C17	122.6(3)

C1	C6	C5	119.7(4)	C16	C17	C18	117.1(3)
N1	C7	C1	119.4(3)	C16	C17	C21	121.8(3)
C8	C7	N1	112.5(3)	C18	C17	C21	121.1(3)
C8	C7	C1	127.9(3)	C19	C18	C17	121.3(3)
N2	C8	C14	120.8(3)	C18	C19	C20	121.3(3)
C7	C8	N2	104.9(3)	N3	C20	C15	121.1(3)
C7	C8	C14	133.8(3)	C19	C20	N3	120.4(3)
N1	C9	N2	111.5(3)	C19	C20	C15	118.4(3)

Figure 2 X-ray single crystal structure of **3ac**



Single crystals of **3ac** were grown by slow evaporation of its EA/PE solution. Single-crystal X-ray diffraction data were collected with a 'multiwire proportional' diffractometer. The crystal was kept at 150 K during data collection. Using Olex2, the structure was solved with the olex2.solve structure solution program using Charge Flipping and refined with the olex2.refine refinement package using Least Squares minimization. Supplementary crystallographic data have been deposited at the Cambridge Crystallographic Data Center (CCDC 2071330).

Table 4 Crystal data and structure refinement for **3ac**

Identification code	401s
Empirical formula	C ₂₁ H ₁₆ F ₃ N ₃
Formula weight	367.37
Temperature/K	150.00(10)
Crystal system	triclinic
Space group	P-1

a/Å	9.3630(12)
b/Å	9.7796(13)
c/Å	10.8395(14)
α /°	71.593(12)
β /°	70.494(12)
γ /°	70.814(12)
Volume/Å ³	859.6(2)
Z	2
ρ_{calc} /cm ³	1.419
μ /mm ⁻¹	0.910
F(000)	380.0
Crystal size/mm ³	0.13 × 0.11 × 0.1
Radiation	Cu K α (λ = 1.54184)
2 θ range for data collection/°	8.896 to 133.136
Index ranges	-9 ≤ h ≤ 11, -11 ≤ k ≤ 11, -12 ≤ l ≤ 12
Reflections collected	5339
Independent reflections	3032 [R _{int} = 0.0430, R _{sigma} = 0.0586]
Data/restraints/parameters	3032/35/245
Goodness-of-fit on F ²	1.050
Final R indexes [I ≥ 2 σ (I)]	R ₁ = 0.0879, wR ₂ = 0.2465
Final R indexes [all data]	R ₁ = 0.1059, wR ₂ = 0.2674

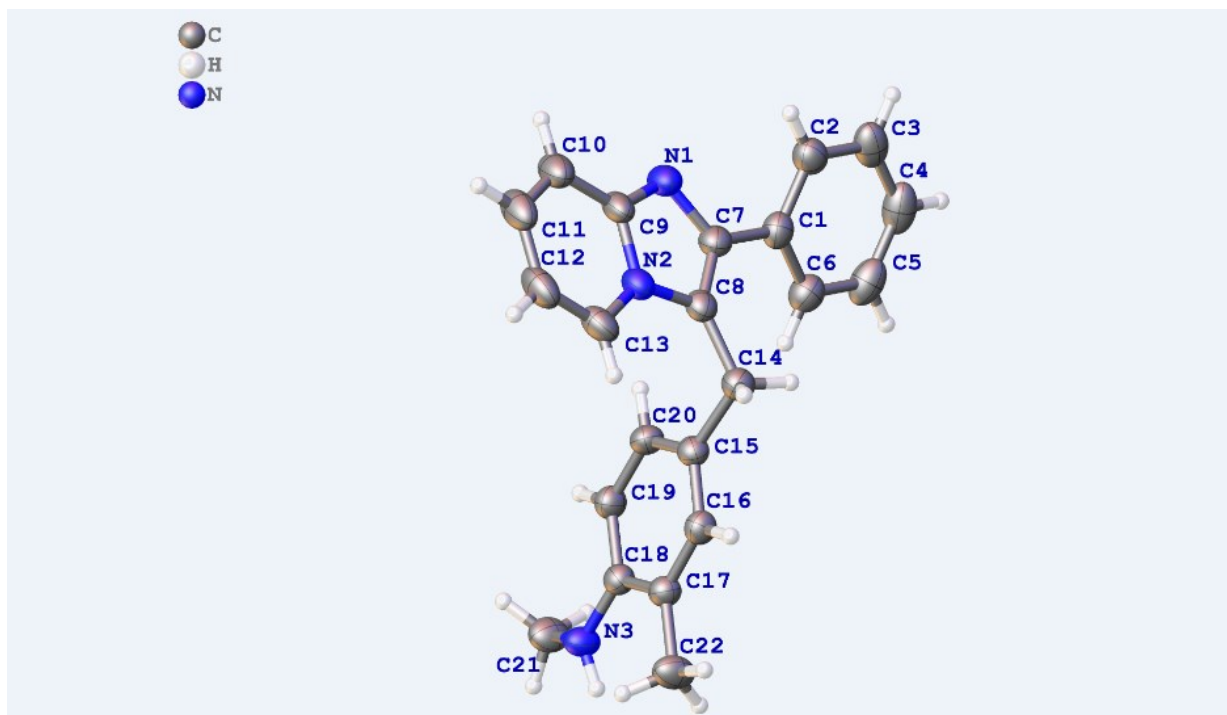
Table 5 Bond Lengths for **3ac**

Atom	Atom	Length/Å	Atom	Atom	Length/Å
F2	C21	1.363(5)	C20	C15	1.385(5)
F3	C21	1.342(5)	C15	C14	1.524(5)
F1	C21	1.324(4)	C5	C4	1.390(6)
N1	C5	1.362(5)	C1	C2	1.342(6)
N1	C1	1.356(5)	C14	C7	1.503(5)
N1	C7	1.411(6)	C8	C13	1.387(6)
N3	C18	1.360(5)	C8	C6	1.475(6)
N2	C5	1.362(5)	C8	C9	1.442(6)
N2	C6	1.368(5)	C10	C9	1.387(6)
C16	C17	1.371(5)	C10	C11	1.368(7)
C16	C15	1.402(5)	C13	C12	1.353(6)
C17	C18	1.416(5)	C6	C7	1.357(6)
C19	C20	1.395(5)	C4	C3	1.413(7)
C19	C18	1.417(5)	C2	C3	1.438(7)
C19	C21	1.487(5)	C11	C12	1.352(7)

Table 6 Bond Angles for **3ac**

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C5	N1	C7	107.5(3)	N1	C5	N2	110.7(4)
C1	N1	C5	121.2(4)	N1	C5	C4	120.4(4)
C1	N1	C7	131.3(4)	N2	C5	C4	128.9(4)
C5	N2	C6	104.5(3)	C2	C1	N1	121.4(4)
C17	C16	C15	122.0(3)	C7	C14	C15	114.2(3)
C16	C17	C18	121.6(3)	C13	C8	C6	122.2(4)
C20	C19	C18	120.7(3)	C13	C8	C9	116.2(4)
C20	C19	C21	118.9(3)	C9	C8	C6	121.6(4)
C18	C19	C21	120.4(3)	C11	C10	C9	121.1(4)
C15	C20	C19	122.2(3)	C12	C13	C8	123.2(5)
N3	C18	C17	120.2(3)	N2	C6	C8	118.1(4)
N3	C18	C19	123.5(3)	C7	C6	N2	112.8(4)
C17	C18	C19	116.3(3)	C7	C6	C8	129.1(4)
F2	C21	C19	112.6(3)	C5	C4	C3	119.4(4)
F3	C21	F2	104.7(3)	C10	C9	C8	118.9(4)
F3	C21	C19	112.4(3)	C1	C2	C3	120.1(4)
F1	C21	F2	105.3(3)	N1	C7	C14	120.4(4)
F1	C21	F3	107.0(3)	C6	C7	N1	104.4(3)
F1	C21	C19	114.1(3)	C6	C7	C14	135.2(4)
C16	C15	C14	122.9(3)	C12	C11	C10	120.5(4)
C20	C15	C16	117.0(3)	C4	C3	C2	117.6(4)
C20	C15	C14	120.1(3)	C11	C12	C13	120.1(5)

Figure 3 X-ray single crystal structure of **4f**



Single crystals of **4f** were grown by slow evaporation of its EA/PE solution. Single-crystal X-ray diffraction data were collected with a 'multiwire proportional' diffractometer. The crystal was kept at 149.99 K during data collection. Using Olex2, the structure was solved with the olex2.solve structure solution program using Charge Flipping and refined with the olex2.refine refinement package using Least Squares minimization. Supplementary crystallographic data have been deposited at the Cambridge Crystallographic Data Center (CCDC 2071331).

Table 7 Crystal data and structure refinement for **4f**

Identification code	341s_2
Empirical formula	C ₂₂ H ₂₁ N ₃
Formula weight	327.42
Temperature/K	149.99(10)
Crystal system	monoclinic
Space group	P2 ₁ /n
a/Å	15.6761(6)
b/Å	10.9989(4)
c/Å	21.0393(9)
α/°	90
β/°	98.719(4)
γ/°	90
Volume/Å ³	3585.7(2)
Z	8
ρ _{calc} /cm ³	1.213
μ/mm ⁻¹	0.560
F(000)	1392.0
Crystal size/mm ³	0.14 × 0.12 × 0.11

Radiation	Cu K α ($\lambda = 1.54184$)
2 θ range for data collection/ $^{\circ}$	6.576 to 147.004
Index ranges	$-19 \leq h \leq 13$, $-13 \leq k \leq 12$, $-25 \leq l \leq 26$
Reflections collected	14465
Independent reflections	7038 [$R_{\text{int}} = 0.0429$, $R_{\text{sigma}} = 0.0504$]
Data/restraints/parameters	7038/0/463
Goodness-of-fit on F^2	1.029
Final R indexes [$I \geq 2\sigma(I)$]	$R_1 = 0.0557$, $wR_2 = 0.1352$
Final R indexes [all data]	$R_1 = 0.0828$, $wR_2 = 0.1545$

Table 8 Bond Lengths for **4f**

Atom	Atom	Length/Å	Atom	Atom	Length/Å
N1	C7	1.374(3)	N4	C23	1.332(3)
N1	C9	1.330(3)	N4	C29	1.372(3)
N2	C8	1.387(3)	N5	C23	1.396(3)
N2	C9	1.388(3)	N5	C27	1.383(3)
N2	C13	1.378(3)	N5	C28	1.386(3)
N3	C18	1.377(3)	N6	C40	1.378(3)
N3	C21	1.445(3)	N6	C44	1.444(3)
C1	C2	1.394(3)	C23	C24	1.399(3)
C1	C6	1.400(3)	C24	C25	1.364(3)
C1	C7	1.478(3)	C25	C26	1.416(3)
C2	C3	1.385(3)	C26	C27	1.358(3)
C3	C4	1.386(4)	C28	C29	1.372(3)
C4	C5	1.381(4)	C28	C36	1.493(3)
C5	C6	1.389(3)	C29	C30	1.478(3)
C7	C8	1.376(3)	C30	C31	1.395(3)
C8	C14	1.484(3)	C30	C35	1.396(3)
C9	C10	1.411(3)	C31	C32	1.387(3)
C10	C11	1.355(4)	C32	C33	1.384(3)
C11	C12	1.408(4)	C33	C34	1.387(3)
C12	C13	1.360(3)	C34	C35	1.385(3)
C14	C15	1.520(3)	C36	C37	1.521(3)
C15	C16	1.391(3)	C37	C38	1.390(3)
C15	C20	1.388(3)	C37	C42	1.385(3)
C16	C17	1.382(3)	C38	C39	1.390(3)
C17	C18	1.415(3)	C39	C40	1.393(3)
C17	C22	1.497(3)	C40	C41	1.412(3)
C18	C19	1.401(3)	C41	C42	1.383(3)
C19	C20	1.390(3)	C41	C43	1.498(3)

Table 9 Bond Angles for **4f**

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C9	N1	C7	105.44(18)	C23	N4	C29	105.54(17)
C8	N2	C9	107.73(17)	C27	N5	C23	122.07(18)
C13	N2	C8	130.5(2)	C27	N5	C28	130.57(19)
C13	N2	C9	121.68(19)	C28	N5	C23	107.35(16)
C18	N3	C21	121.38(18)	C40	N6	C44	121.32(18)
C2	C1	C6	118.2(2)	N4	C23	N5	110.37(17)
C2	C1	C7	120.2(2)	N4	C23	C24	131.3(2)
C6	C1	C7	121.6(2)	N5	C23	C24	118.35(19)
C3	C2	C1	121.3(2)	C25	C24	C23	119.9(2)
C2	C3	C4	119.7(2)	C24	C25	C26	120.4(2)
C5	C4	C3	120.0(2)	C27	C26	C25	120.5(2)
C4	C5	C6	120.4(2)	C26	C27	N5	118.8(2)
C5	C6	C1	120.4(2)	N5	C28	C36	121.87(18)
N1	C7	C1	119.84(19)	C29	C28	N5	104.82(17)
N1	C7	C8	111.85(19)	C29	C28	C36	133.21(19)
C8	C7	C1	128.2(2)	N4	C29	C28	111.91(18)
C2	C1	C7	120.2(2)	N4	C23	C24	131.3(2)
N2	C8	C14	120.71(18)	N4	C29	C30	120.82(18)
C7	C8	N2	104.43(18)	C28	C29	C30	127.25(18)
C7	C8	C14	134.83(19)	C31	C30	C29	120.36(19)
N1	C9	N2	110.54(18)	C31	C30	C35	118.34(19)
N1	C9	C10	130.8(2)	C35	C30	C29	121.29(19)
N2	C9	C10	118.7(2)	C32	C31	C30	120.6(2)
C11	C10	C9	119.6(2)	C33	C32	C31	120.1(2)
C10	C11	C12	120.3(2)	C32	C33	C34	120.2(2)
C13	C12	C11	120.9(2)	C35	C34	C33	119.5(2)
C12	C13	N2	118.8(2)	C34	C35	C30	121.2(2)
C8	C14	C15	114.43(17)	C28	C36	C37	115.65(17)
C16	C15	C14	120.72(18)	C38	C37	C36	122.43(18)
C20	C15	C14	121.86(18)	C42	C37	C36	120.16(18)
C20	C15	C16	117.32(19)	C42	C37	C38	117.23(19)
C17	C16	C15	123.15(19)	C39	C38	C37	120.85(19)
C16	C17	C18	119.07(19)	C38	C39	C40	121.54(19)
C16	C17	C22	121.04(18)	N6	C40	C39	122.60(19)
C18	C17	C22	119.88(19)	N6	C40	C41	119.43(18)
N3	C18	C17	120.29(18)	C39	C40	C41	117.91(19)
N3	C18	C19	121.41(18)	C40	C41	C43	120.10(19)
C19	C18	C17	118.25(18)	C42	C41	C40	119.07(18)
C20	C19	C18	120.89(19)	C42	C41	C43	120.83(18)

C15 C20 C19 121.30(19) C41 C42 C37 123.32(19)

5. References

1. H. Cao, H. Zhan, Y. Lin, X. Lin, Z. Du, H. Jiang, *Org. Lett.* **2012**, *14*, 1688.
2. C. Zhu, G. Xu, J. Sun, *Angew. Chem. Int. Ed.* **2016**, *55*, 11867.