Copper-Promoted *ortho*-Directed C-H Amination of 2-Arylpyridines with NH-heterocycles

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Supporting Information

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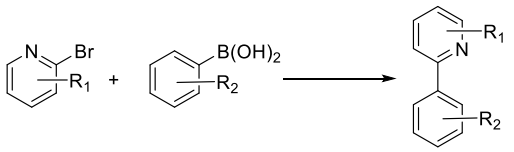
1. General Methods

All solvents were dried before use following the standard procedures. Unless otherwise indicated, all starting materials purchased from commercial suppliers were used without further purification. NMR data were obtained for ^{1}H at 400 MHz and for ^{13}C at 151 or 101 MHz. Spectrometer and all chemical shift values refer to $CDCl_3$ (δ (^{1}H), 7.26 ppm; δ (^{13}C), 77.10 ppm). ESI HRMS was recorded on a Waters SYNAPT G2 and Water XEVO G2 Q-ToF. TLC was performed on glass-backed silica plates. UV detection was monitored at 254 nm. Column chromatography was performed on silica gel (300-400 mesh), eluting with ethyl acetate, petroleum ether, methanol and dichloromethane. 2-phenylpyridine derivatives and azole compounds were obtained according to the literature procedures or directly purchased.

2. General Procedure for the Synthesis of Substrates

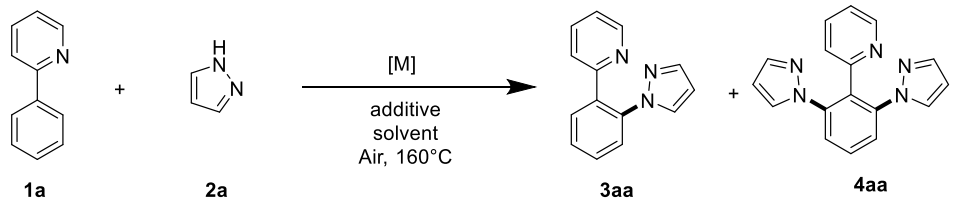
2.1 General procedure for the synthesis of 2-phenylpyridine derivatives [1]:



To a stirred solution of 2-bromopyridine derivatives (2.0 mmol, 1 equiv.) in toluene (7 mL), ethanol (1.5 mL), and water (7 mL) was added Na_2CO_3 (1.6 g, 15 mmol, 7.5 equiv.), $Pd(PPh_3)_4$ (0.069 g, 0.060 mmol, 3 mol%) and appropriate arylboronic acid (2.6 mmol, 1.3 equiv.) under argon in a 50 mL two-necked flask. The resulting mixture was refluxed for 4 hours. Then the reaction mixture was cooled to room temperature and aqueous NH_4Cl (15 mL) was added. Extract the mixture by ethyl acetate (20 mL) for three times. The organic solution was dried over anhydrous Na_2SO_4 and evaporated to dryness. The crude product was purified by column chromatography on silica gel with petroleum ether/ethyl acetate (10:1) to obtain the product.

3. Optimization of the Reaction conditions

Table S1. Screening of the Catalyst [a]

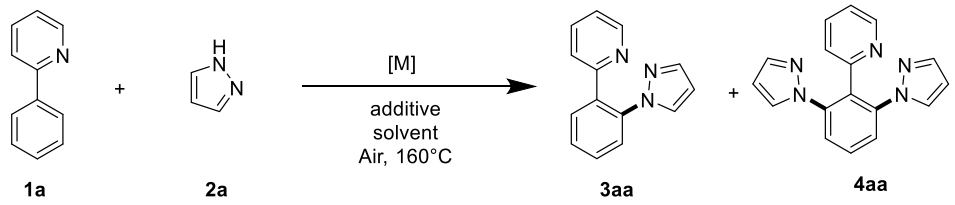


1a + **2a** → **3aa** + **4aa**

Entry	[Cu]	Yield[b](%)	
		3aa	**4aa**
1	CuOAc	ND	-
2	CuCl	ND	-
3	CuBr	ND	-
4	$CuBr_2$	ND	-
5	$Cu(TFA)_2 \cdot H_2O$	41	-
6	$CuBr_2$	ND	-
7	$Cu_2(OH)_2CO_3$	38	-
8	$CuSO_4$	ND	-
9	$Cu(NO_3)_2 \cdot 3H_2O$	ND	-
10	$Cu(OAc)_2$	50	<10

[a] Reaction conditions unless otherwise specified: **1a** (0.1 mmol), **2a** (0.3 mmol), [Cu] (1.5 equiv.), Na_2CO_3 (2 equiv.), $Na_2S_2O_8$ (1.5 equiv.), MesCOOH (1.5 equiv.) in toluene (1 mL) at 160 °C under air for 12 h. [b] isolated yields.

Table S2. Screening of the Base, Acid and Oxidant [a]



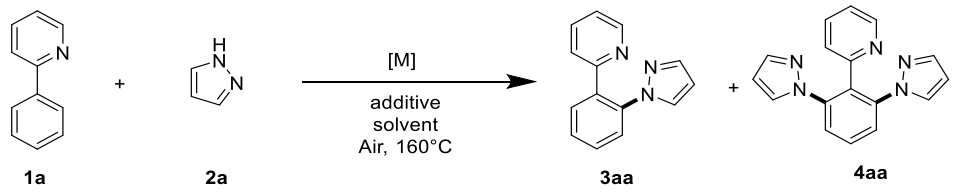
1a 2a 3aa 4aa

Entry	Additive			Yield[b](%)	
	base	acid	oxidant	**3aa**	**4aa**
1	Na_2CO_3	MesCOOH	$Na_2S_2O_8$	50	<10
2	K_2CO_3	MesCOOH	$Na_2S_2O_8$	42	<10
3	Cs_2CO_3	MesCOOH	$Na_2S_2O_8$	38	-
4	$(NH_4)_2CO_3$	MesCOOH	$Na_2S_2O_8$	30	-
5	Ag_2CO_3	MesCOOH	$Na_2S_2O_8$	27	-
6	Li_2CO_3	MesCOOH	$Na_2S_2O_8$	31	-
7	NaOH	MesCOOH	$Na_2S_2O_8$	24	-
8	KOH	MesCOOH	$Na_2S_2O_8$	28	-
9	KOAc	MesCOOH	$Na_2S_2O_8$	32	-
10	K_3PO_4	MesCOOH	$Na_2S_2O_8$	45	-
11	Na_2CO_3	PhCOOH	$Na_2S_2O_8$	44	-
12	Na_2CO_3	HOAC	$Na_2S_2O_8$	40	-
13	Na_2CO_3	1-AdCOOH	$Na_2S_2O_8$	32	-
14	Na_2CO_3	TsOH	$Na_2S_2O_8$	25	-
15	Na_2CO_3	PivOH	$Na_2S_2O_8$	33	-
16	Na_2CO_3	PFBA	$Na_2S_2O_8$	44	-
17	Na_2CO_3	MesCOOH	$K_2S_2O_8$	48	-
18	Na_2CO_3	MesCOOH	AgF	58	<10
19	Na_2CO_3	MesCOOH	Ag_2O	38	-
20	Na_2CO_3	MesCOOH	AgOAc	35	-
21	Na_2CO_3	MesCOOH	AgTFA	44	-
22	Na_2CO_3	MesCOOH	AgOTF	46	-

23	Na_2CO_3	MesCOOH	$AgNO_3$	34	-
24	Na_2CO_3	MesCOOH	selecflour	33	-
25	Na_2CO_3	MesCOOH	NMO	36	-
26	Na_2CO_3	MesCOOH	DDQ	38	-

[a] Reaction conditions unless otherwise specified: **1a** (0.1 mmol), **2a** (0.3 mmol), $Cu(OAc)_2$ (1.5 equiv), base (2 equiv.), oxidant (1.5 equiv.), acid (1.5 equiv.) in toluene (1 mL) at 160 °C under air for 12 h. [b] isolated yields.

Table S3. Screening of the Solvent [a]



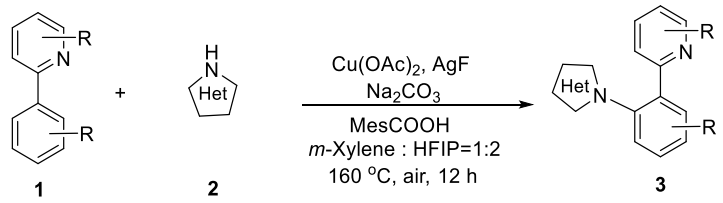
1a **2a** **3aa** **4aa**

Entry	Solvent	Yield[b](%)	
		3aa	**4aa**
1	DMF	ND	-
2	DMSO	ND	-
3	MeCN	ND	-
4	DCE	12	-
5	MeOH	ND	-
6	Tol	58	<10
7	TFE	53	<10
8	HFIP	62	15
9	*o*-Xylene	47	<10
10	*m*-Xylene	61	<10
11[c]	*m*-Xylene	65	<10
12[d]	*m*-Xylene	60	<10
13[c]	*m*-Xylene : HFIP=1:2	73	11
14[c]	*m*-Xylene : HFIP=1:1	70	-
15[c]	*m*-Xylene : HFIP=2:1	67	-
16[c]	*m*-Xylene : HFIP=1:3	70	-
17[c]	*m*-Xylene : HFIP=3:1	65	-

[a] Reaction conditions unless otherwise specified: **1a** (0.1 mmol), **2a** (0.3 mmol), $Cu(OAc)_2$ (1.5 equiv), Na_2CO_3 (2 equiv.), MesCOOH (1.5 equiv.), AgF (1.5 equiv.), in solvent (1 mL) at 160 °C under air for 12 h. [b] isolated yields. [c] Solvent = 1.5 mL in total. [d] Solvent = 2 mL in total.

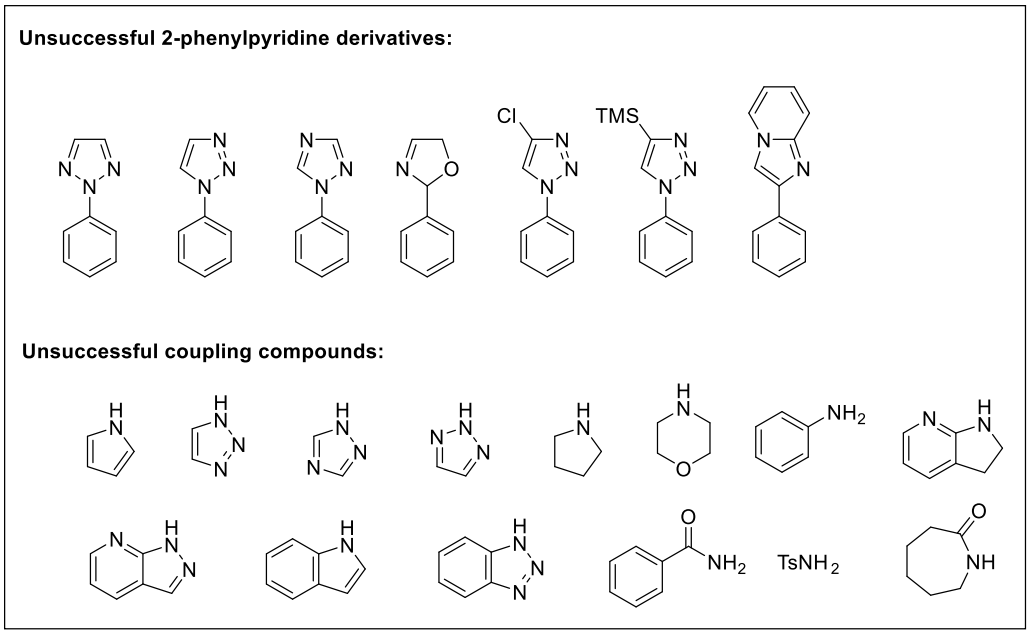
4. General Procedure for the Synthesis of products 3

4.1 General procedure for the synthesis of products 3:



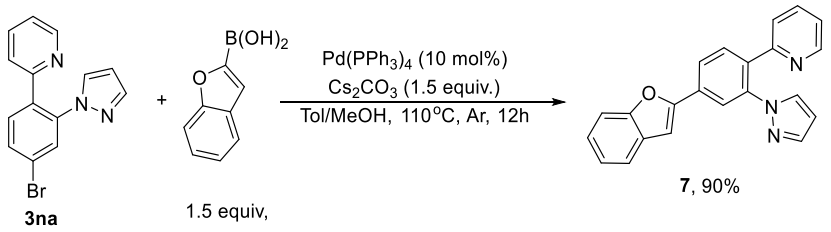
A mixture of **2-phenylpyridine derivatives 1** (0.1 mmol), **azole compounds 2** (1 mmol), $Cu(OAc)_2$ (27.2 mg, 1.5 equiv.), AgF (25.4 mg, 2 equiv.), Na_2CO_3 (21.2 mg, 2 equiv.) and MesCOOH (24.6 mg, 1.5 equiv.), in the solvent *m*-Xylene : HFIP=1:2 (total 1.5 mL) was vigorously stirred at 160 ºC for 12 h under air. After cooling to ambient temperature, all volatiles were evaporated under reduced pressure. The resulting residue was purified by column chromatography on silica gel (eluent: petroleum ether/ethyl acetate = 2.5:1, v/v) to give products **3**.

4.2 Unsuccessful substrates:



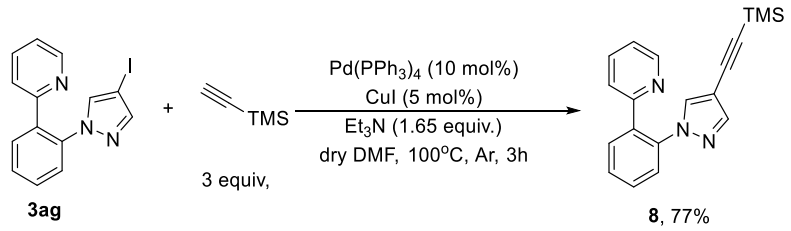
5. Synthetic Applications of Products 3

5.1 Procedure for the synthesis of compound 7[2]:



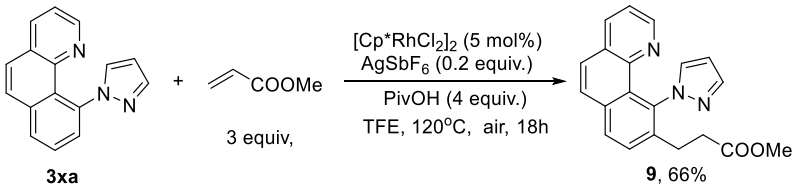
Charge a round bottom flask with **3na** (0.1mmol, 1.0 equiv.), benzofuran-2-ylboronic acid (1.5 equiv.), palladium catalyst (10 mol%), Cs_2CO_3 (1.5 equiv.) and is add toluene (1.6 mL) and methanol (0.4 mL) subsequently. Then heat the reaction mixture in an oil bath at 110 °C under Ar. Monitor the reaction by TLC analysis for 12 hours till complete conversion of the starting materials. Cool the reaction mixture to 23 °C. Quench the reaction with saturated NH_4Cl solution and extract the aqueous phase with ethyl acetate (10 mL x 3). Wash the combined organic phases with brine (10 mL). Dry the combined organic phases over $MgSO_4$ and filter. Concentrating the mixture under reduced pressure. The reaction mixture was purified by flash chromatography eluting with ethyl acetate and PE (1:2.5) to give the product **7** as a white solid (30.3 mg, 90% yield).

5.2 Procedure for the synthesis of compound 8[3]**:**



To a solution of **3ag** (0.15 mmol) in dry DMF (0.5 mL) was added $Pd(PPh_3)_4$ (10 mol%), CuI (5 mol%), triethylamine (1.65 equiv.) and trimethylsilylacetylene (0.45 mmol) under Ar. Stirring the reaction mixture for 3 h in an oil bath at 110 °C. After completion, the reaction mixture was concentrated and purified by flash chromatography eluting with ethyl acetate and PE (1:2.5) to give the product **8** as a yellow solid (36.6 mg, 77% yield).

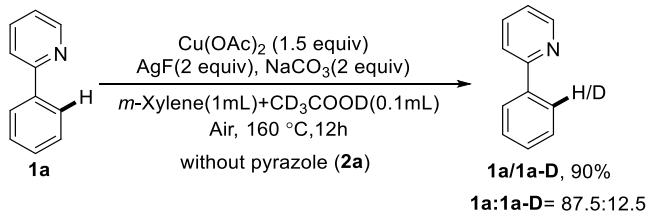
5.3 Procedure for the synthesis of compound 9[4]**:**



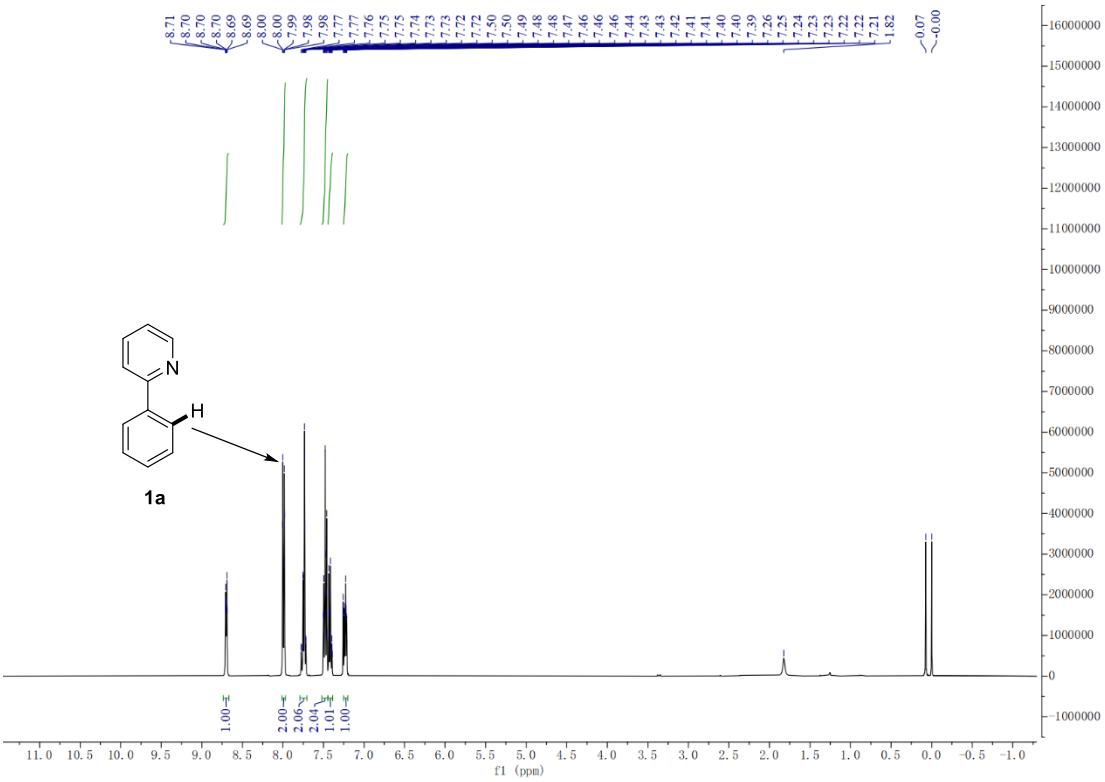
To a flame-dried screw-cap tube equipped with a magnetic stir bar were introduced **3xa** (24.5mg, 0.1 mmol), methyl acrylate (3.0 equiv.), $[Cp^*RhCl_2]_2$ (5 mol %), PivOH (4.0 equiv), $AgSbF_6$ (0.2 equiv.), and TFE (1.0 mL). The reaction mixture was stirred in preheated oil bath at 120 °C under an air atmosphere for 18 h. After completion, the reaction mixture was purified by flash chromatography eluting with ethyl acetate and PE (1:2.5) to give the product **9** as a yellow solid (21.8 mg, 66% yield).

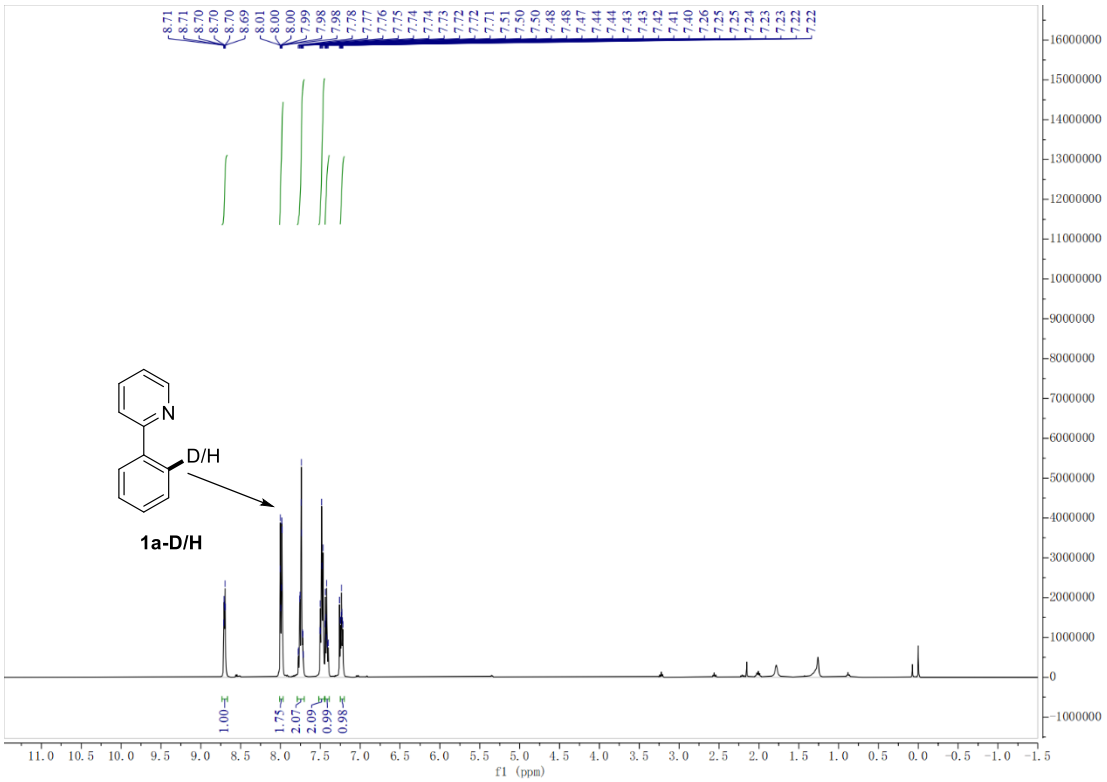
6. Mechanistic Studies

6.1 Deuterium incorporation experiment:

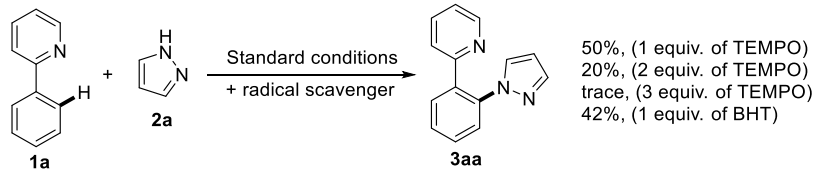


To a mixture of **1a** (15.5mg, 0.1 mmol), $Cu(OAc)_2$ (1.5 equiv.), AgF (2 equiv.) and Na_2CO_3 (2 equiv.) *m*-Xylene (1 mL) was added CD_3COOD (0.1 mL) and the reaction mixture was stirred at 160 °C for 12 h under air. All volatiles were then removed under reduced pressure and the resulting residue was purified by column chromatography on silica gel (eluent: EtOAc/PE = 1:10, v/v) to afford compound **1a-D**/**1a** (13.9 mg, 90%), which was characterized by ^1H NMR spectroscopy. ^1H NMR analysis of **1a** 12.5% deuterium incorporation at the 2-position of the phenyl ring.

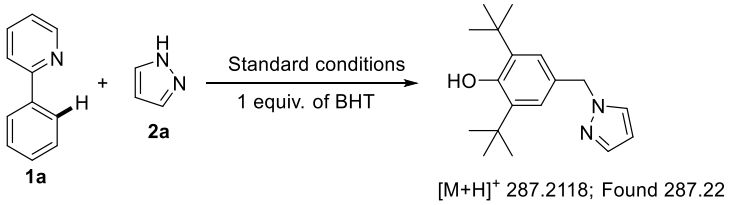




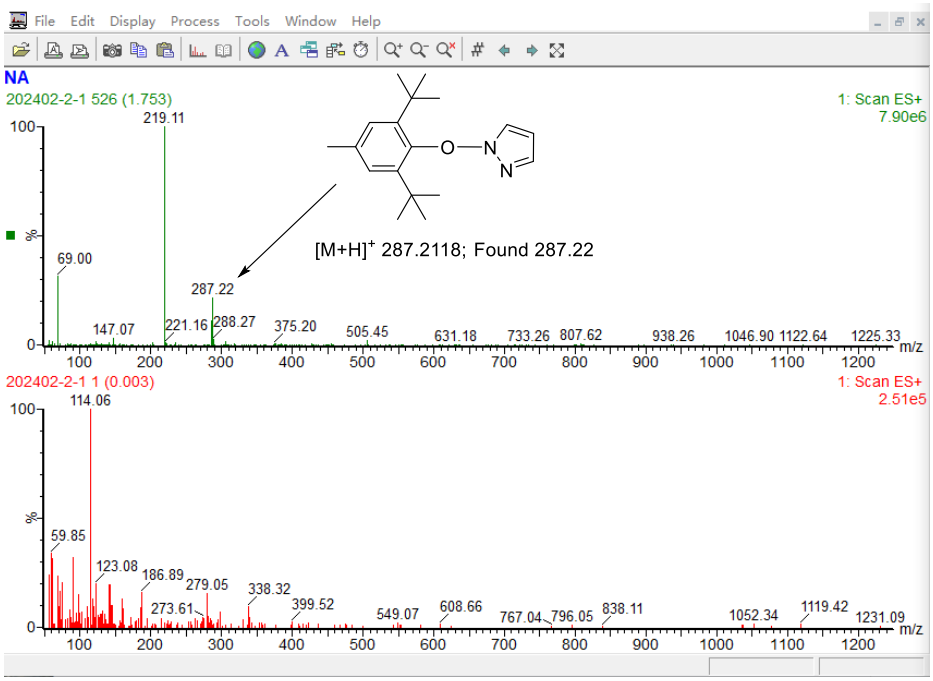
6.2 Radical experiments:



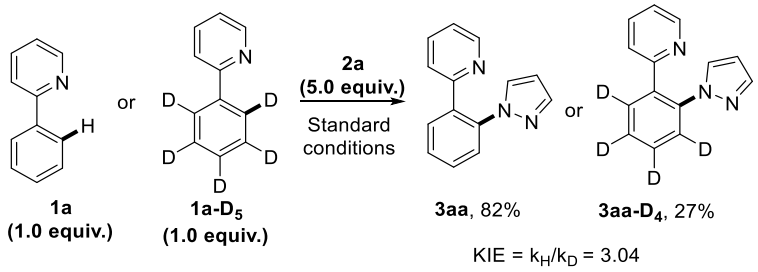
A mixture of **1a** (15.5 mg, 0.1 mmol), pyrazole **2a** (0.5 mmol), $Cu(OAc)_2$ (1.5 equiv.), AgF (2 equiv.), Na_2CO_3 (2 equiv.), MesCOOH (1.5 equiv.) and BHT (1 equiv.) or Tempo (1 equiv.) in solvent of *m*-Xylene : HFIP = 1:2 (total 1.5 mL) was stirred at 160 °C for 12 h under air. All volatiles were then removed under reduced pressure and the resulting residue was purified by column chromatography on silica gel (eluent: EtOAc/PE = 1:10, v/v) to afford compound **3aa** with an obviously lower yield.



A mixture of **1a** (15.5 mg, 0.1 mmol), pyrazole **2a** (0.5 mmol), $Cu(OAc)_2$ (1.5 equiv.), AgF (2 equiv.), Na_2CO_3 (2 equiv.), MesCOOH (1.5 equiv.) and BHT (1 equiv.) in solvent of *m*-Xylene : HFIP = 1:2 (total 1.5 mL) was stirred at 160 °C for 4 h under air. Subsequently, the reaction mixture was analyzed by LC-MS, where radical intermediate's molecular mass was found 287.22.

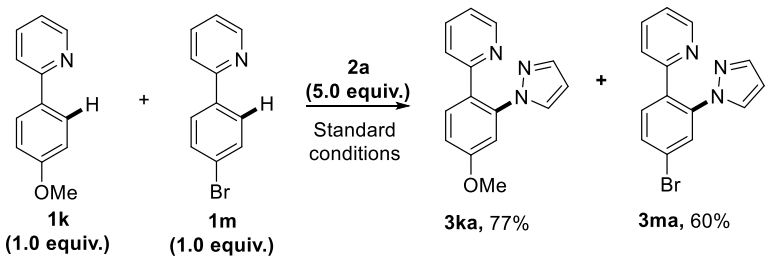


6.3 KIE experiment:



At the same time, a mixture of **1a** (15.5 mg, 0.1 mmol) or **1a-D$_5$**, pyrazole **2a** (0.5 mmol), $Cu(OAc)_2$ (1.5 equiv.), AgF (2 equiv.), Na_2CO_3 (2 equiv.), MesCOOH (1.5 equiv.) in solvent of *m*-Xylene : HFIP = 1:2 (total 1.5 mL) was stirred at 160 °C for 12 h under air. Then, all volatiles were then removed under reduced pressure and the resulting residue was purified by column chromatography on silica gel (eluent: EtOAc/PE = 1:2.5, v/v) to afford compound **3aa** in 82% yield or **3aa-D$_4$** in 27% yield. Thus, the KIE value was 3.04, which meant the first *ortho* C–H bond cleavage might be the rate-determining step.

6.4 Competition experiment:



A mixture of **1k** (0.1 mmol), **1m** (0.1 mmol), pyrazole **2a** (0.5 mmol), $Cu(OAc)_2$ (1.5 equiv.), AgF (2 equiv.), Na_2CO_3 (2 equiv.), MesCOOH (1.5 equiv.) in solvent of *m*-Xylene : HFIP = 1:2 (total 1.5 mL) was stirred at 160 °C for 12 h under air. All volatiles were then removed under reduced pressure and the resulting residue was purified by column chromatography on silica gel (eluent: EtOAc/PE = 1:2.5, v/v) to afford compound **3ka** in 77% yield or **3ma** in 60% yield, which revealed that electronically rich substrates reacted preferentially.

7. References

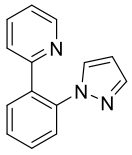
[1] Chu, W.-D.; Liang, T.-T.; Ni, H. et al. Palladium-Catalyzed Intermolecular Asymmetric Dearomative Annulation of Phenols with Vinyl Cyclopropanes. *Org. Lett.* **2022**, 24, 27, 4865–4870.

[2] Wu, S.-S.; Li, Y.-G.; Xie. S.-Y. et al. Supramolecular Nanotubules as a Catalytic Regulator for Palladium Cations: Applications in Selective Catalysis. *Angew. Chem. Int. Ed.* **2017**, 56, 11511–11514.

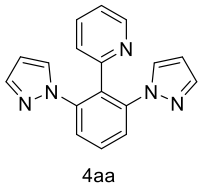
[3] Brach, N.; Popek, L.; Truong, M. et al. Divergent Synthesis of 6- or 7-Aza-Indazoles via Intramolecular Diels–Alder Cascade of Pyrazines. *Org. Lett*. **2023**, 25, 7847–7851.

[4] Liu, H.; Gong, R.-Z.; Zhao, Y.; Zheng, J.; Xu, Y.-J.; Dong, L. Cascade Alkenylation/Intramolecular Friedel–Crafts Alkylation: High Selectivity at the C7-Position of BINOL. *J. Org. Chem.* **2023**, 88, 6108–6119.

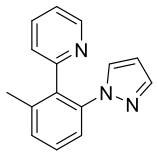
8. Characterization Data


3aa

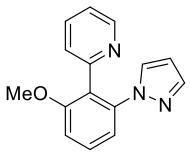
2-(2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3aa**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 90% (19.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.74 – 7.67 (m, 1H), 7.56 (d, *J* = 1.9 Hz, 1H), 7.51 (dd, *J* = 5.9, 3.4 Hz, 1H), 7.43 (dd, *J* = 5.9, 3.5 Hz, 2H), 7.39 (td, *J* = 7.7, 1.8 Hz, 1H), 7.13 (d, *J* = 2.4 Hz, 1H), 7.07 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 6.69 (dt, *J* = 8.0, 1.1 Hz, 1H), 6.16 (t, *J* = 2.2 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.3, 149.7, 140.5, 138.6, 136.0, 135.8, 131.3, 131.0, 129.4, 128.5, 126.5, 123.5, 122.2, 106.7. HRMS (ESI) (m/z) Calculated for $C_{14}H_{12}N_3^+$ $[M + H]^+$: 222.1026; found: 222.1029.


4aa

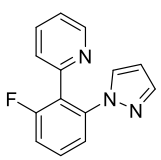
2-(2,6-di(1*H*-pyrazol-1-yl)phenyl)pyridine (**4aa**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 1:1, v/v). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (dt, *J* = 4.9, 1.3 Hz, 1H), 7.69 (d, *J* = 6.6 Hz, 2H), 7.67 – 7.61 (m, 1H), 7.52 (d, *J* = 1.8 Hz, 2H), 7.49 (td, *J* = 7.8, 1.8 Hz, 1H), 7.21 (d, *J* = 2.5 Hz, 2H), 7.12 (ddd, *J* = 7.7, 4.9, 1.2 Hz, 1H), 6.99 (d, *J* = 7.9 Hz, 1H), 6.14 (t, *J* = 2.2 Hz, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 153.9, 149.2, 140.5, 140.3, 136.4, 131.7, 131.4, 129.7, 126.1, 125.1, 122.5, 106.5. HRMS (ESI) (m/z) Calculated for $C_{17}H_{14}N_5^+$ $[M + H]^+$: 288.1244; found: 288.1246.


3ba

2-(2-methyl-6-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3ba**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 63% (14.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (ddd, *J* = 5.0, 1.9, 1.0 Hz, 1H), 7.54 (td, *J* = 7.7, 1.8 Hz, 1H), 7.49 (d, *J* = 1.9 Hz, 1H), 7.45 – 7.37 (m, 2H), 7.35 – 7.30 (m, 1H), 7.17 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 7.06 (d, *J* = 2.4 Hz, 1H), 6.96 (dt, *J* = 7.8, 1.1 Hz, 1H), 6.06 (t, *J* = 2.1 Hz, 1H), 2.18 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.9, 149.3, 140.0, 139.4, 137.9, 136.4, 135.6, 131.3, 130.1, 128.9, 124.6, 123.6, 122.1, 106.0, 20.3. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ $[M + H]^+$: 236.1182; found: 236.1187.

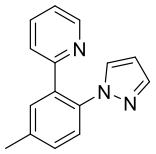

3ca

2-(2-methoxy-6-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3ca**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 73% (18.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.56 (td, *J* = 7.7, 1.8 Hz, 1H), 7.50 – 7.43 (m, 2H), 7.24 (dd, *J* = 8.1, 1.0 Hz, 1H), 7.16 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 7.11 – 7.06 (m, 2H), 7.01 (dd, *J* = 8.4, 1.1 Hz, 1H), 6.07 (dd, *J* = 2.4, 1.8 Hz, 1H), 3.78 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 157.7, 154.3, 149.2, 140.3, 140.1, 136.2, 131.3, 129.9, 125.4, 125.3, 122.1, 118.1, 110.6, 106.1, 56.2. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3O^+$ $[M + H]^+$: 252.1132; found: 252.1138.


3da

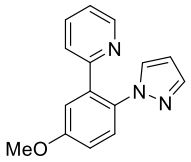
2-(2-fluoro-6-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3da**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 95% (22.7 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.62 (ddd, *J* = 4.9, 1.8, 0.9 Hz, 1H), 7.62 (td, *J* = 7.7, 1.8 Hz, 1H), 7.52 (d, *J* = 2.0 Hz, 1H), 7.50 – 7.42 (m,

2H), 7.24 – 7.18 (m, 2H), 7.17 – 7.11 (m, 2H), 6.15 (t, J = 2.1 Hz, 1H).^{13}C NMR (101 MHz, $CDCl_3$) δ 160.3 (d, J_{C-F} = 247 Hz), 151.7, 149.6, 140.7, 140.4 (d, J_{C-F} = 5 Hz), 136.5, 131.1, 130.2 (d, J_{C-F} = 9 Hz), 125.3, 124.3 (d, J_{C-F} = 18 Hz), 122.8, 121.5 (d, J_{C-F} = 3 Hz), 115.4 (d, J_{C-F} = 22 Hz), 106.7. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3F^+$ [M + H]$^+$: 240.0932; found: 240.0933.



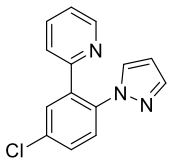
3ea

2-(5-methyl-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3ea**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 91% (21.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 (ddd, J = 4.9, 1.9, 1.0 Hz, 1H), 7.59 (dd, J = 12.8, 2.0 Hz, 2H), 7.48 – 7.38 (m, 2H), 7.30 (dd, J = 8.1, 2.1 Hz, 1H), 7.18 – 7.10 (m, 2H), 6.71 (dt, J = 8.0, 1.1 Hz, 1H), 6.20 (t, J = 2.1 Hz, 1H), 2.44 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.4, 149.6, 140.4, 138.7, 136.3, 136.0, 135.6, 131.4, 131.4, 130.1, 126.5, 123.5, 122.1, 106.5, 21.1. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ [M + H]$^+$: 236.1182; found: 236.1186.



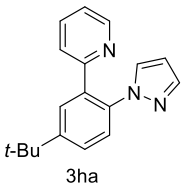
3fa

2-(5-methoxy-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3fa**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 90% (22.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.62 (ddd, J = 4.9, 1.9, 1.0 Hz, 1H), 7.60 (dd, J = 1.9, 0.6 Hz, 1H), 7.47 – 7.41 (m, 2H), 7.29 (d, J = 2.9 Hz, 1H), 7.18 – 7.11 (m, 2H), 7.02 (dd, J = 8.7, 3.0 Hz, 1H), 6.70 (dt, J = 7.9, 1.1 Hz, 1H), 6.19 (t, J = 2.1 Hz, 1H), 3.87 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 159.6, 156.0, 149.6, 140.2, 137.3, 136.1, 131.9, 131.5, 128.1, 123.4, 122.3, 115.6, 114.9, 106.4, 55.7. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3O^+$ [M + H]$^+$: 252.1132; found: 252.1139.



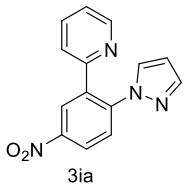
3ga

2-(5-chloro-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3ga**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 88% (22.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (d, J = 5.0 Hz, 1H), 7.77 (d, J = 2.3 Hz, 1H), 7.63 (d, J = 1.8 Hz, 1H), 7.53 – 7.48 (m, 2H), 7.48 – 7.45 (m, 1H), 7.22 – 7.13 (m, 2H), 6.73 (d, J = 7.9 Hz, 1H), 6.23 (t, J = 2.2 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 154.9, 149.8, 140.9, 137.1, 136.3, 134.4, 131.4, 131.0, 129.5, 127.9, 123.5, 122.7, 107.1. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3Cl^+$ [M + H]$^+$: 256.0636; found: 256.0637.



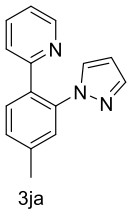
3ha

2-(5-(tert-butyl)-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3ha**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 90% (14.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 – 8.63 (m, 1H), 7.75 (d, J = 2.2 Hz, 1H), 7.62 (d, J = 1.8 Hz, 1H), 7.57 – 7.43 (m, 3H), 7.16 (dd, J = 7.7, 4.0 Hz, 2H), 6.75 (d, J = 7.9 Hz, 1H), 6.21 (t, J = 2.1 Hz, 1H), 1.39 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.8, 151.8, 149.7, 140.4, 136.2, 136.0, 135.3, 131.4, 127.8, 126.7, 126.2, 123.7, 122.1, 106.5, 34.9, 31.3. HRMS (ESI) (m/z) Calculated for $C_{18}H_{20}N_3^+$ [M + H]$^+$: 278.1652; found: 278.1656.



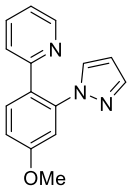
3ia

2-(5-nitro-2-(1H-pyrazol-1-yl)phenyl)pyridine (**3ia**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 65% (17.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.71 (ddd, *J* = 4.9, 1.8, 1.0 Hz, 1H), 8.62 (d, *J* = 2.6 Hz, 1H), 8.36 (dd, *J* = 8.8, 2.6 Hz, 1H), 7.83 (d, *J* = 8.8 Hz, 1H), 7.69 (d, *J* = 1.8 Hz, 1H), 7.59 (td, *J* = 7.8, 1.8 Hz, 1H), 7.28 (ddd, *J* = 7.6, 4.9, 1.1 Hz, 1H), 7.18 (d, *J* = 2.5 Hz, 1H), 6.88 (dt, *J* = 7.9, 1.1 Hz, 1H), 6.31 – 6.23 (m, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.5, 150.3, 146.8, 143.1, 142.0, 136.7, 135.9, 131.3, 127.0, 126.9, 124.4, 123.7, 123.3, 108.0. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_4O_2^+$ $[M + H]^+$: 267.0877; found: 267.0876.



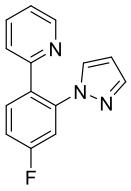
3ja

2-(4-methyl-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (3ja): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 88% (20.7 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 – 8.59 (m, 1H), 7.69 – 7.59 (m, 2H), 7.44 (td, *J* = 7.7, 1.9 Hz, 1H), 7.39 (d, *J* = 1.8 Hz, 1H), 7.31 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.17 – 7.10 (m, 2H), 6.69 (dt, *J* = 7.9, 1.1 Hz, 1H), 6.21 (t, *J* = 2.1 Hz, 1H), 2.43 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.3, 149.6, 140.5, 139.8, 138.4, 136.0, 132.9, 131.4, 130.9, 129.4, 127.1, 123.5, 122.0, 106.6, 21.1. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ $[M + H]^+$: 236.1182; found: 236.1188.



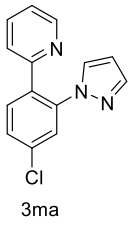
3ka

2-(4-methyl-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3ka**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 89% (22.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.70 (d, *J* = 8.6 Hz, 1H), 7.64 (dd, *J* = 1.8, 0.6 Hz, 1H), 7.43 (td, *J* = 7.7, 1.8 Hz, 1H), 7.16 (dd, *J* = 2.4, 0.7 Hz, 1H), 7.14 – 7.08 (m, 2H), 7.05 (dd, *J* = 8.6, 2.6 Hz, 1H), 6.65 (dt, *J* = 8.0, 1.1 Hz, 1H), 6.22 (t, *J* = 2.1 Hz, 1H), 3.87 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 160.4, 156.2, 149.6, 140.6, 139.5, 136.0, 132.1, 131.5, 128.2, 123.5, 121.8, 115.2, 111.2, 106.8, 55.7. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3O^+$ $[M + H]^+$: 252.1132; found: 252.1138.



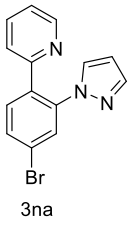
3la

2-(4-fluoro-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3la**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 85% (20.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.73 (dd, *J* = 8.7, 6.1 Hz, 1H), 7.64 (d, *J* = 1.8 Hz, 1H), 7.48 (td, *J* = 7.7, 1.8 Hz, 1H), 7.34 (dd, *J* = 9.1, 2.6 Hz, 1H), 7.24 – 7.19 (m, 1H), 7.14 (d, *J* = 2.4 Hz, 2H), 6.76 – 6.70 (m, 1H), 6.23 (t, *J* = 2.2 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 162.7(d, J_{C-F} = 251 Hz), 155.6, 149.8, 141.0, 139.7(d, J_{C-F} = 11 Hz), 136.2, 132.8(d, J_{C-F} = 9 Hz), 131.6(d, J_{C-F} = 3 Hz), 131.3, 123.6, 122.3, 115.6(d, J_{C-F} = 21 Hz), 113.6(d, J_{C-F} = 24 Hz), 107.1. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3F^+$ $[M + H]^+$: 240.0932; found: 240.0934.



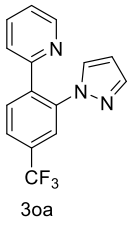
3ma

2-(4-chloro-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3ma**): Prepared as shown in general procedure; pale yellow solid; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 86% (21.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (ddd, *J* = 4.9, 1.9, 0.9 Hz, 1H), 7.72 (d, *J* = 8.3 Hz, 1H), 7.64 (dd, *J* = 9.4, 2.0 Hz, 2H), 7.53 – 7.46 (m, 2H), 7.22 – 7.15 (m, 2H), 6.74 (dt, *J* = 8.0, 1.0 Hz, 1H), 6.25 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 155.3, 149.8, 141.0, 139.3, 136.3, 135.1, 134.0, 132.3, 131.3, 128.7, 126.6, 123.6, 122.5, 107.2. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3Cl^+$ [M + H]$^+$: 256.0636; found: 256.0638.



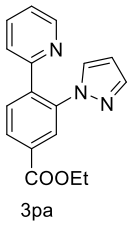
3na

2-(4-bromo-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3na**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 75% (22.4mg). ^{1}H NMR (400 MHz, $CDCl_3$) 8.64 (dt, *J* = 4.8, 1.5 Hz, 1H), 7.78 (t, *J* = 1.2 Hz, 1H), 7.65 (d, *J* = 1.3 Hz, 3H), 7.50 (td, *J* = 7.7, 1.8 Hz, 1H), 7.23 – 7.15 (m, 2H), 6.75 (dt, *J* = 7.9, 1.1 Hz, 1H), 6.25 (t, *J* = 2.2 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 155.3, 149.8, 141.0, 139.4, 136.3, 134.5, 132.4, 131.6, 131.3, 129.5, 123.6, 123.0, 122.6, 107.2. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3Br^+$ [M + H]$^+$: 300.0131; found: 300.0130.



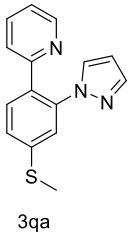
3oa

2-(2-(1*H*-pyrazol-1-yl)-4-(trifluoromethyl)phenyl)pyridine (**3oa**): Prepared as shown in general procedure; pale yellow solid; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 77% (22.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.74 – 8.62 (m, 1H), 7.92 – 7.87 (m, 2H), 7.80 – 7.73 (m, 1H), 7.67 (d, *J* = 1.8 Hz, 1H), 7.53 (td, *J* = 7.7, 1.8 Hz, 1H), 7.27 – 7.16 (m, 2H), 6.80 (d, *J* = 7.9 Hz, 1H), 6.27 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 155.0, 150.0, 141.3, 138.9, 138.8, 136.4, 132.0, 131.7(q, $J_{C\text{-}F}$ = 33 Hz), 131.4, 125.0(q, $J_{C\text{-}F}$ = 3 Hz), 123.5(q, $J_{C\text{-}F}$ = 273 Hz), 123.7(q, $J_{C\text{-}F}$ = 12 Hz), 123.6, 122.9, 107.4. HRMS (ESI) (m/z) Calculated for $C_{15}H_{11}N_3F_3^+$ [M + H]$^+$: 290.0900 ; found: 290.0897.



3pa

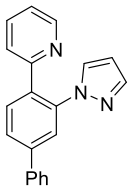
ethyl 3-(1*H*-pyrazol-1-yl)-4-(pyridin-2-yl)benzoate (**3pa**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 2:1, v/v); yield is 65% (19.1mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 8.26 (d, *J* = 1.7 Hz, 1H), 8.19 (dd, *J* = 8.0, 1.7 Hz, 1H), 7.87 (d, *J* = 8.1 Hz, 1H), 7.66 (dd, *J* = 1.9, 0.6 Hz, 1H), 7.52 (td, *J* = 7.7, 1.8 Hz, 1H), 7.27 – 7.19 (m, 2H), 6.79 (dt, *J* = 8.0, 1.1 Hz, 1H), 6.31 – 6.25 (m, 1H), 4.42 (q, *J* = 7.1 Hz, 2H), 1.41 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 165.4, 155.4, 149.9, 140.9, 139.8, 138.7, 136.3, 131.6, 131.4, 131.3, 129.4, 127.8, 123.6, 122.7, 107.1, 61.5, 14.3. HRMS (ESI) (m/z) Calculated for $C_{17}H_{16}N_3O_2^+$ [M + H]$^+$: 294.1237; found: 294.1234.



3qa

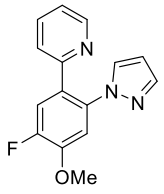
2-(4-(methylthio)-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3qa**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 2:1, v/v); yield is 77% (20.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.71 (d, *J* = 8.2 Hz, 1H), 7.66 (dd, *J* = 1.8, 0.6 Hz, 1H), 7.50 – 7.41 (m, 2H), 7.38 (dd, *J* = 8.3, 2.0 Hz, 1H), 7.20 – 7.13 (m, 2H), 6.69 (dt, *J* = 7.9, 1.1 Hz, 1H), 6.25 (t, *J* = 2.1 Hz, 1H), 2.54 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 155.8, 149.7,

141.0, 140.7, 138.9, 136.1, 132.1, 131.4, 131.2, 126.1, 123.4, 122.1, 106.9, 15.4. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3S^+$ [M + H]$^+$: 268.0903; found: 268.0902.



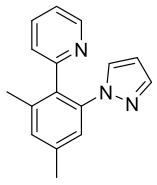
3ra

2-(3-(1*H*-pyrazol-1-yl)-[1,1'-biphenyl]-4-yl)pyridine (**3ra**): Prepared as shown in general procedure; pale yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 79% (23.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.68 (ddd, *J* = 5.0, 1.8, 1.0 Hz, 1H), 7.88 (d, *J* = 8.1 Hz, 1H), 7.83 (d, *J* = 1.8 Hz, 1H), 7.76 (dd, *J* = 8.0, 1.9 Hz, 1H), 7.71 – 7.66 (m, 3H), 7.52 – 7.43 (m, 3H), 7.42 – 7.36 (m, 1H), 7.25 (d, *J* = 2.4 Hz, 1H), 7.18 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 6.77 (dt, *J* = 8.0, 1.1 Hz, 1H), 6.27 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.0, 149.8, 142.6, 140.7, 139.4, 139.0, 136.1, 134.5, 131.6, 131.5, 129.0, 128.1, 127.2, 127.2, 125.2, 123.8, 122.3, 106.9. HRMS (ESI) (m/z) Calculated for $C_{20}H_{16}N_3^+$ [M + H]$^+$: 298.1339; found: 298.1339.



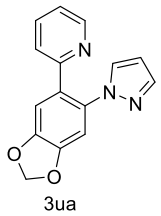
3sa

2-(5-fluoro-4-methoxy-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3s**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 94% (25.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.66 (d, *J* = 1.9 Hz, 1H), 7.55 (d, *J* = 11.7 Hz, 1H), 7.43 (td, *J* = 7.8, 1.8 Hz, 1H), 7.19 – 7.06 (m, 3H), 6.60 (dt, *J* = 7.9, 1.1 Hz, 1H), 6.23 (t, *J* = 2.1 Hz, 1H), 3.94 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 154.7(d, J_{C-F} = 2 Hz), 152.0(d, J_{C-F} = 249 Hz), 149.7, 148.3(d, J_{C-F} = 11 Hz) , 140.7, 136.2, 134.6(d, J_{C-F} = 3 Hz), 131.7, 128.6(d, J_{C-F} = 7 Hz), 122.8(d, J_{C-F} = 8 Hz), 118.0, 117.8, 111.7(d, J_{C-F} = 2 Hz), 107.0, 56.5. HRMS (ESI) (m/z) Calculated for $C_{15}H_{13}N_3OF^+$ [M + H]$^+$: 270.1037; found: 270.1037.



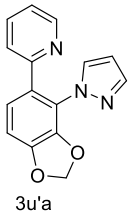
3ta

2-(2,4-dimethyl-6-(1*H*-pyrazol-1-yl)phenyl)pyridine (**3ta**): Prepared as shown in general procedure; pale yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 84% (20.9mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.62 (ddd, *J* = 5.0, 1.8, 1.0 Hz, 1H), 7.53 (td, *J* = 7.7, 1.8 Hz, 1H), 7.48 (d, *J* = 1.9 Hz, 1H), 7.26 – 7.24 (m, 1H), 7.19 – 7.13 (m, 2H), 7.04 (d, *J* = 2.4 Hz, 1H), 6.94 (dt, *J* = 7.9, 1.1 Hz, 1H), 6.05 (t, *J* = 2.1 Hz, 1H), 2.39 (s, 3H), 2.14 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.9, 149.1, 139.9, 139.1, 139.0, 137.7, 136.5, 132.7, 131.3, 130.9, 124.8, 124.1, 122.1, 106.0, 21.1, 20.1. HRMS (ESI) (m/z) Calculated for $C_{16}H_{16}N_3^+$ [M + H]$^+$: 250.1339; found: 250.1339.

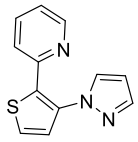


3ua

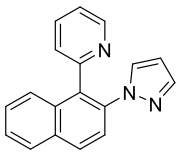
2-(6-(1*H*-pyrazol-1-yl)benzo[d][1,3]dioxol-5-yl)pyridine (**3ua**): Prepared as shown in general procedure; pale yellow solid; eluent (petroleum ether/EtOAc = 2.5:1, v/v); yield is 51% (13.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.62 – 8.55 (m, 1H), 7.70 (d, *J* = 1.8 Hz, 1H), 7.43 (td, *J* = 7.7, 1.8 Hz, 1H), 7.35 – 7.23 (m, 2H), 7.12 (ddd, *J* = 7.6, 4.9, 1.1 Hz, 1H), 6.98 (d, *J* = 8.2 Hz, 1H), 6.68 (dt, *J* = 8.0, 1.1 Hz, 1H), 6.29 (t, *J* = 2.2 Hz, 1H), 6.11 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.6, 149.5, 149.2, 143.3, 140.9, 136.1, 132.0, 131.1, 124.4, 123.1, 122.0, 121.8, 108.8, 106.8, 102.6. HRMS (ESI) (m/z) Calculated for $C_{15}H_{12}N_3O_2^+$ [M + H]$^+$: 266.0924; found: 266.0922.


3u'a

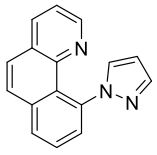
2-(4-(1*H*-pyrazol-1-yl)benzo[d][1,3]dioxol-5-yl)pyridine (**3u'a**): Prepared as shown in general procedure; pale yellow soild; eluent (petroleum ether/EtOAc = 2.5, v/v); yield is 37% (9.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.61 (ddd, *J* = 4.8, 1.8, 1.0 Hz, 1H), 7.62 (d, *J* = 1.8 Hz, 1H), 7.41 (td, *J* = 7.8, 1.9 Hz, 1H), 7.24 (s, 1H), 7.14 – 7.07 (m, 2H), 7.01 (s, 1H), 6.60 (dd, *J* = 8.0, 1.0 Hz, 1H), 6.19 (t, *J* = 2.1 Hz, 1H), 6.08 (s, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.9, 149.6, 148.3, 148.0, 140.4, 136.1, 133.0, 131.7, 130.3, 123.4, 122.0, 109.9, 107.5, 106.6, 102.2. HRMS (ESI) (m/z) Calculated for $C_{15}H_{12}N_3O_2^+$ [M + H]$^+$: 266.0924; found: 266.0923.


3va

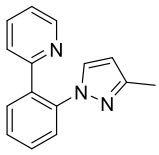
2-(3-(1*H*-pyrazol-1-yl)thiophen-2-yl)pyridine (**3va**): Prepared as shown in general procedure; pale yellow soild; eluent (petroleum ether/EtOAc = 2:1, v/v); yield is 64% (14.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.57 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.80 – 7.72 (m, 1H), 7.53 (dd, *J* = 2.4, 0.6 Hz, 1H), 7.48 (td, *J* = 7.8, 1.8 Hz, 1H), 7.42 (d, *J* = 5.3 Hz, 1H), 7.18 (d, *J* = 5.3 Hz, 1H), 7.13 (ddd, *J* = 7.5, 4.9, 1.1 Hz, 1H), 6.55 (dt, *J* = 8.1, 1.1 Hz, 1H), 6.43 (t, *J* = 2.1 Hz, 1H).^{13}C NMR (151 MHz, $CDCl_3$) δ 150.5, 149.5, 141.00, 137.0, 136.6, 135.3, 131.0, 127.1, 126.5, 122.6, 120.8, 107.1. HRMS (ESI) (m/z) Calculated for $C_{12}H_{10}N_3S^+$ [M + H]$^+$: 228.0590; found: 228.0590.


3wa

2-(2-(1*H*-pyrazol-1-yl)naphthalen-1-yl)pyridine (**3wa**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 2:1, v/v); yield is 51% (13.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.80 (ddd, *J* = 5.0, 1.9, 1.0 Hz, 1H), 8.08 – 7.99 (m, 1H), 7.98 – 7.90 (m, 1H), 7.78 (d, *J* = 8.8 Hz, 1H), 7.65 (td, *J* = 7.7, 1.8 Hz, 1H), 7.62 – 7.57 (m, 2H), 7.54 (ddd, *J* = 8.2, 6.9, 1.4 Hz, 1H), 7.47 (ddd, *J* = 8.3, 6.8, 1.4 Hz, 1H), 7.30 (ddd, *J* = 7.6, 5.0, 1.2 Hz, 1H), 7.16 – 7.09 (m, 2H), 6.12 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.1, 149.5, 140.5, 136.8, 136.6, 132.9, 132.3, 131.8, 131.8, 129.9, 128.1, 127.2, 126.5, 126.2, 125.6, 123.8, 122.5, 106.3. HRMS (ESI) (m/z) Calculated for $C_{18}H_{14}N_3^+$ [M + H]$^+$: 272.1182; found: 272.1180.

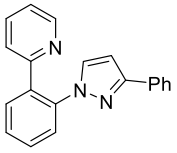

3xa

10-(1*H*-pyrazol-1-yl)benzo[h]quinoline (**3xa**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 5:1, v/v); yield is 83% (20.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (dd, *J* = 4.3, 1.9 Hz, 1H), 7.98 (dd, *J* = 8.0, 1.9 Hz, 1H), 7.92 (dd, *J* = 6.9, 2.4 Hz, 1H), 7.78 – 7.69 (m, 2H), 7.67 – 7.62 (m, 2H), 7.60 (d, *J* = 8.8 Hz, 1H), 7.58 (d, *J* = 2.4 Hz, 1H), 7.27 (dd, *J* = 8.0, 4.3 Hz, 1H), 6.44 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 147.4, 144.4, 138.5, 137.5, 134.4, 134.3, 130.8, 128.8, 127.6, 126.6, 126.3, 126.2, 126.1, 125.7, 120.7, 104.8. HRMS (ESI) (m/z) Calculated for $C_{16}H_{12}N_3^+$ [M + H]$^+$: 246.1026; found: 246.1025.


3ab

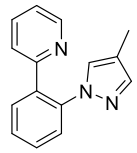
2-(2-(3-methyl-1*H*-pyrazol-1-yl)phenyl)pyridine (**3ab**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 78% (18.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.66 (dt, *J* = 4.9, 1.3 Hz, 1H), 7.77 – 7.71 (m, 1H), 7.62 – 7.57 (m, 1H), 7.53 – 7.48 (m, 2H), 7.48 – 7.44 (m, 1H), 7.17 (ddd, *J* = 7.5, 4.9, 1.1 Hz, 1H), 7.00 (d, *J* = 2.3 Hz, 1H), 6.84 – 6.77 (m, 1H), 5.99 (d, *J* = 2.3 Hz, 1H), 2.32 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.5, 149.9,

149.7, 138.7, 136.1, 135.4, 132.1, 131.0, 129.5, 128.2, 126.4, 123.8, 122.1, 106.6, 13.6. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ [M + H]$^+$: 236.1182; found: 236.1182.



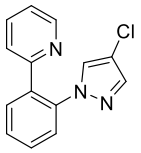
3ac

2-(2-(3-phenyl-1*H*-pyrazol-1-yl)phenyl)pyridine (**3ac**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 83% (24.7 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 (d, *J* = 5.0 Hz, 1H), 7.82 (d, *J* = 7.6 Hz, 2H), 7.79 (dd, *J* = 6.4, 2.8 Hz, 1H), 7.71 – 7.66 (m, 1H), 7.54 (dt, *J* = 5.8, 2.3 Hz, 2H), 7.52 – 7.46 (m, 1H), 7.40 (t, *J* = 7.5 Hz, 2H), 7.32 (t, *J* = 7.3 Hz, 1H), 7.22 – 7.20 (m, 1H), 7.19 – 7.15 (m, 1H), 6.91 (d, *J* = 7.9 Hz, 1H), 6.55 (d, *J* = 2.5 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.4, 152.5, 149.7, 138.6, 136.2, 135.7, 133.1, 132.8, 131.1, 129.6, 128.6, 128.6, 127.9, 126.5, 125.78, 123.8, 122.2, 104.1. HRMS (ESI) (m/z) Calculated for $C_{20}H_{16}N_3^+$ [M + H]$^+$: 298.1339; found: 298.1338.



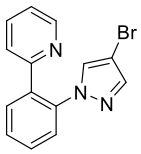
3ad

2-(2-(4-methyl-1*H*-pyrazol-1-yl)phenyl)pyridine (**3ad**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 85% (20.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (ddd, *J* = 4.9, 1.8, 1.0 Hz, 1H), 7.78 – 7.71 (m, 1H), 7.57 – 7.52 (m, 1H), 7.52 – 7.48 (m, 2H), 7.48 (d, *J* = 2.5 Hz, 1H), 7.43 (s, 1H), 7.17 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 6.98 – 6.94 (m, 1H), 6.79 (dt, *J* = 8.0, 1.1 Hz, 1H), 1.99 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.5, 149.7, 141.3, 138.8, 136.0, 135.5, 131.1, 129.9, 129.4, 128.3, 126.3, 123.7, 122.2, 117.2, 8.8. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ [M + H]$^+$: 236.1182; found: 236.1182.



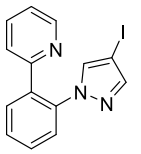
3ae

2-(2-(4-chloro-1*H*-pyrazol-1-yl)phenyl)pyridine (**3ae**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 78% (20.0 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.68 – 8.62 (m, 1H), 7.78 – 7.70 (m, 1H), 7.59 – 7.54 (m, 2H), 7.53 (t, *J* = 1.9 Hz, 2H), 7.52 (s, 1H), 7.25 – 7.17 (m, 2H), 6.87 (dd, *J* = 7.9, 1.1 Hz, 1H).^{13}C NMR (101 MHz, $CDCl_3$) δ 156.0, 149.9, 139.0, 138.1, 136.3, 135.8, 131.2, 129.6, 129.1, 129.1, 126.3, 123.5, 122.5, 111.3. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3Cl^+$ [M + H]$^+$: 256.0636; found: 256.0636.



3af

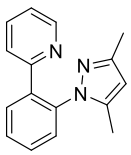
2-(2-(4-bromo-1*H*-pyrazol-1-yl)phenyl)pyridine (**3af**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 53% (15.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (dt, *J* = 4.8, 1.4 Hz, 1H), 7.78 – 7.71 (m, 1H), 7.57 (s, 1H), 7.57 – 7.53 (m, 2H), 7.52 (s, 2H), 7.26 (s, 1H), 7.21 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 6.86 (dd, *J* = 7.9, 1.1 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.0, 149.8, 141.1, 138.1, 136.3, 135.9, 131.3, 131.2, 129.6, 129.1, 126.3, 123.5, 122.5, 94.5. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3Br^+$ [M + H]$^+$: 300.0131; found: 300.0129.



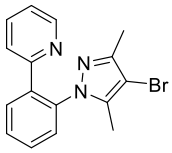
3ag

2-(2-(4-iodo-1*H*-pyrazol-1-yl)phenyl)pyridine (**3ag**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 80% (27.7 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 (ddd, *J* = 4.9, 1.8, 1.0 Hz, 1H), 7.79 – 7.71 (m, 1H), 7.62 (s, 1H), 7.57 – 7.52 (m, 2H), 7.52 (s, 2H), 7.28 (s, 1H), 7.20 (ddd, *J* = 7.6, 4.9, 1.1 Hz, 1H), 6.84 (dt, *J* = 7.9, 1.1 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.0, 149.8, 145.5, 137.9, 136.3, 135.9, 135.5, 131.2, 129.6, 129.1, 126.3,

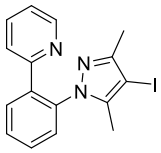
123.5, 122.4, 57.6. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3I^+$ $[M + H]^+$: 347.9992; found: 347.9990.


3ah

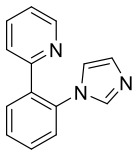
2-(2-(3,5-dimethyl-1*H*-pyrazol-1-yl)phenyl)pyridine (**3ah**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 50% (12.5 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.67 – 8.61 (m, 1H), 7.89 (dd, *J* = 7.3, 1.8 Hz, 1H), 7.56 – 7.48 (m, 2H), 7.48 – 7.41 (m, 2H), 7.13 (ddd, *J* = 7.6, 4.8, 1.2 Hz, 1H), 6.65 (d, *J* = 8.0 Hz, 1H), 5.77 (s, 1H), 2.29 (s, 3H), 1.60 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.9, 149.7, 148.9, 140.8, 137.7, 137.4, 136.2, 130.7, 129.5, 129.3, 128.6, 123.5, 122.1, 105.9, 13.6, 11.0. HRMS (ESI) (m/z) Calculated for $C_{16}H_{16}N_3^+$ $[M + H]^+$: 250.1339; found: 250.1339.


3ai

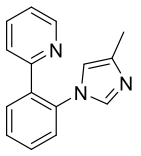
2-(2-(4-bromo-3,5-dimethyl-1*H*-pyrazol-1-yl)phenyl)pyridine (**3ai**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 62% (20.3 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.88 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.57 (td, *J* = 7.5, 1.6 Hz, 1H), 7.50 (dtd, *J* = 13.7, 7.8, 1.8 Hz, 2H), 7.42 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.17 (ddd, *J* = 7.5, 4.9, 1.1 Hz, 1H), 6.68 (dt, *J* = 7.9, 1.1 Hz, 1H), 2.29 (s, 3H), 1.62 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.6, 149.9, 147.5, 139.1, 137.7, 137.3, 136.3, 130.8, 129.7, 128.3, 123.3, 122.3, 95.2, 12.5, 10.5. HRMS (ESI) (m/z) Calculated for $C_{16}H_{15}N_3Br^+$ $[M + H]^+$: 328.0444; found: 328.0443.


3aj

2-(2-(4-iodo-3,5-dimethyl-1*H*-pyrazol-1-yl)phenyl)pyridine (**3aj**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 53% (19.9 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.88 (dd, *J* = 7.6, 1.8 Hz, 1H), 7.57 (td, *J* = 7.5, 1.5 Hz, 1H), 7.50 (dtd, *J* = 17.2, 7.7, 1.8 Hz, 2H), 7.42 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.17 (ddd, *J* = 7.5, 4.9, 1.1 Hz, 1H), 6.66 (dt, *J* = 8.0, 1.1 Hz, 1H), 2.30 (s, 3H), 1.66 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.5, 150.7, 149.8, 142.5, 137.7, 137.5, 136.2, 130.8, 129.7, 129.6, 128.2, 123.3, 122.3, 63.6, 14.2, 12.1. HRMS (ESI) (m/z) Calculated for $C_{16}H_{15}N_3I^+$ $[M + H]^+$: 376.0305; found: 376.0305.

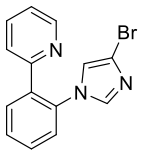

3ak

2-(2-(1*H*-imidazol-1-yl)phenyl)pyridine (**3ak**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 1:1, v/v); yield is 50% (11.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.69 – 8.60 (m, 1H), 7.77 (dd, *J* = 7.2, 2.2 Hz, 1H), 7.54 (ddd, *J* = 8.7, 6.4, 2.3 Hz, 2H), 7.51 – 7.47 (m, 1H), 7.45 – 7.36 (m, 2H), 7.19 (dd, *J* = 7.6, 4.9 Hz, 1H), 7.04 (s, 1H), 6.88 (d, *J* = 1.5 Hz, 1H), 6.82 (d, *J* = 7.9 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.7, 149.9, 137.5, 136.5, 136.4, 135.2, 131.5, 129.7, 129.6, 128.9, 126.4, 123.1, 122.5, 120.6. HRMS (ESI) (m/z) Calculated for $C_{14}H_{12}N_3^+$ $[M + H]^+$: 222.1026; found: 222.1026.



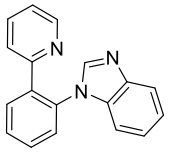
3al

2-(2-(4-methyl-1*H*-imidazol-1-yl)phenyl)pyridine (**3al**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 1:1, v/v); yield is 64% (15.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (dt, *J* = 4.9, 1.4 Hz, 1H), 7.80 – 7.74 (m, 1H), 7.54 (dd, *J* = 7.5, 1.9 Hz, 1H), 7.52 – 7.46 (m, 2H), 7.38 – 7.33 (m, 1H), 7.30 – 7.26 (m, 1H), 7.20 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 6.89 – 6.82 (m, 1H), 6.61 (s, 1H), 2.27 – 2.14 (m, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.8, 149.9, 138.7, 136.6, 136.4, 136.2, 135.3, 131.5, 129.6, 128.6, 126.3, 123.2, 122.5, 116.9, 13.6. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ [M + H]$^+$: 236.1182; found: 236.1180.



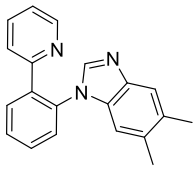
3am

2-(2-(4-bromo-1*H*-imidazol-1-yl)phenyl)pyridine (**3am**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 1:1, v/v); yield is 80% (24.0 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (d, *J* = 5.0 Hz, 1H), 7.77 (dd, *J* = 7.5, 1.8 Hz, 1H), 7.61 (td, *J* = 6.8, 6.0, 1.7 Hz, 1H), 7.59 – 7.51 (m, 2H), 7.42 – 7.35 (m, 1H), 7.29 (d, *J* = 1.6 Hz, 1H), 7.24 (dd, *J* = 7.6, 4.9 Hz, 1H), 6.95 (d, *J* = 7.9 Hz, 1H), 6.91 (d, *J* = 1.6 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.3, 150.1, 137.3, 136.7, 136.5, 134.4, 131.6, 129.8, 129.4, 126.3, 123.1, 122.8, 119.7, 115.9. HRMS (ESI) (m/z) Calculated for $C_{14}H_{11}N_3Br^+$ [M + H]$^+$: 300.0131; found: 300.0129.



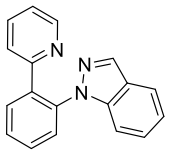
3an

1-(2-(pyridin-2-yl)phenyl)-1*H*-benzo[d]imidazole (**3an**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 1:1, v/v); yield is 68% (18.5 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.63 – 8.55 (m, 1H), 7.90 (dd, *J* = 7.3, 2.1 Hz, 1H), 7.82 – 7.75 (m, 2H), 7.62 (dtd, *J* = 14.0, 7.4, 1.8 Hz, 2H), 7.52 (dd, *J* = 7.3, 1.9 Hz, 1H), 7.33 (td, *J* = 7.7, 1.8 Hz, 1H), 7.26 (tt, *J* = 5.4, 3.0 Hz, 1H), 7.21 (q, *J* = 4.0, 2.5 Hz, 2H), 7.08 (dd, *J* = 7.6, 4.9 Hz, 1H), 6.71 (d, *J* = 7.9 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.5, 145.0, 143.3, 137.7, 136.4, 134.5, 133.5, 131.8, 129.9, 129.4, 127.4, 123.6, 122.9, 122.5, 122.5, 120.3, 110.4. HRMS (ESI) (m/z) Calculated for $C_{18}H_{14}N_3^+$ [M + H]$^+$: 272.1182; found: 272.1182.



3ao

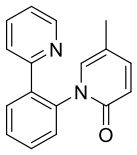
5,6-dimethyl-1-(2-(pyridin-2-yl)phenyl)-1*H*-benzo[d]imidazole (**3ao**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 1:1, v/v); yield is 67% (18.5 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.64 – 8.58 (m, 1H), 7.93 – 7.87 (m, 1H), 7.64 – 7.61 (m, 1H), 7.60 (d, *J* = 2.8 Hz, 2H), 7.54 (s, 1H), 7.52 – 7.48 (m, 1H), 7.33 (td, *J* = 7.7, 1.9 Hz, 1H), 7.09 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 7.01 (s, 1H), 6.68 (d, *J* = 7.8 Hz, 1H), 2.36 (s, 3H), 2.28 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.6, 145.0, 142.5, 141.9, 137.6, 136.4, 133.8, 133.0, 132.9, 131.7, 131.5, 129.8, 129.1, 127.3, 123.0, 122.5, 120.3, 110.5, 20.4, 20.3. HRMS (ESI) (m/z) Calculated for $C_{20}H_{18}N_3^+$ [M + H]$^+$: 300.1495; found: 300.1495.



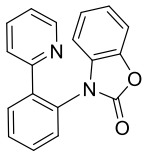
3ap

1-(2-(pyridin-2-yl)phenyl)-1H-indazole (**3ap**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 1:1, v/v); yield is 95% (25.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.62 – 8.53 (m, 1H), 8.14 (s, 1H), 7.97 – 7.90 (m, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.61 (d, *J* = 5.5 Hz, 1H), 7.59 (d, *J* = 2.3 Hz, 2H), 7.19 (td, *J* = 7.7, 1.9 Hz, 1H), 7.16 – 7.10 (m, 1H), 7.08 – 7.00 (m, 2H), 6.98 (dd, *J* = 7.6, 4.9 Hz, 1H), 6.60 (d, *J* = 8.0 Hz, 1H). ^{13}C NMR (101 MHz,

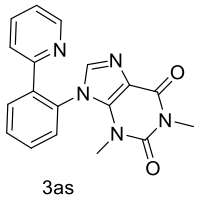
$CDCl_3$) δ 156.2, 149.7, 140.0, 137.3, 137.1, 135.8, 135.1, 131.3, 129.7, 128.9, 127.9, 126.7, 124.3, 123.2, 121.9, 121.0, 120.7, 110.1. HRMS (ESI) (m/z) Calculated for $C_{18}H_{14}N_3^+$ $[M + H]^+$: 272.1182; found: 272.1181.


3aq

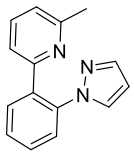
5-methyl-1-(2-(pyridin-2-yl)phenyl)pyridin-2(1*H*)-one (**3aq**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 69% (18.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.68 – 8.62 (m, 1H), 7.97 (d, *J* = 2.5 Hz, 1H), 7.89 (dd, *J* = 7.7, 1.9 Hz, 1H), 7.75 (d, *J* = 7.9 Hz, 1H), 7.59 (td, *J* = 7.8, 1.9 Hz, 1H), 7.44 – 7.37 (m, 2H), 7.32 (td, *J* = 7.5, 1.3 Hz, 1H), 7.17 – 7.10 (m, 2H), 6.67 (d, *J* = 8.3 Hz, 1H), 2.22 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.9, 155.3, 151.8, 149.5, 147.6, 140.2, 135.9, 133.0, 131.4, 130.0, 127.7, 125.3, 124.6, 122.2, 122.0, 110.6, 17.5. HRMS (ESI) (m/z) Calculated for $C_{17}H_{15}N_2O^+$ $[M + H]^+$: 263.1179; found: 263.1179.


3ar

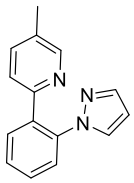
3-(2-(pyridin-2-yl)phenyl)benzo[d]oxazol-2(3*H*)-one (**3ar**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 70% (20.2 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (dt, *J* = 4.9, 1.3 Hz, 1H), 7.83 – 7.78 (m, 1H), 7.62 (ddq, *J* = 9.2, 4.5, 2.1 Hz, 2H), 7.58 – 7.51 (m, 2H), 7.39 – 7.34 (m, 1H), 7.16 (dd, *J* = 8.0, 1.3 Hz, 1H), 7.09 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 7.03 (td, *J* = 7.8, 1.4 Hz, 1H), 6.96 (td, *J* = 7.7, 1.3 Hz, 1H), 6.62 (dd, *J* = 7.7, 1.4 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.0, 153.5, 149.7, 142.6, 138.6, 136.6, 132.0, 131.4, 130.7, 130.0, 129.9, 128.7, 123.8, 123.0, 122.6, 122.4, 109.8, 109.3. HRMS (ESI) (m/z) Calculated for $C_{18}H_{13}N_2O_2^+$ $[M + H]^+$: 289.0972; found: 289.0972.


3as

1,3-dimethyl-9-(2-(pyridin-2-yl)phenyl)-3,9-dihydro-1*H*-purine-2,6-dione (**3as**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 1:1, v/v); yield is 66% (22.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.50 (d, *J* = 4.9 Hz, 1H), 7.71 (d, *J* = 7.6 Hz, 1H), 7.62 (d, *J* = 7.5 Hz, 1H), 7.57 (q, *J* = 6.9 Hz, 2H), 7.48 (d, *J* = 4.8 Hz, 2H), 7.20 – 7.06 (m, 2H), 3.58 (s, 3H), 3.31 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.9, 154.3, 151.7, 149.6, 148.8, 142.7, 137.7, 136.5, 132.7, 130.8, 130.0, 129.3, 128.1, 123.5, 122.5, 108.0, 29.9, 28.0. HRMS (ESI) (m/z) Calculated for $C_{18}H_{16}N_5O_2^+$ $[M + H]^+$: 334.1299; found: 334.1307.

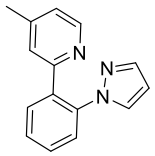

6aa

2-(2-(1*H*-pyrazol-1-yl)phenyl)-6-methylpyridine (**6aa**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 22% (5.2 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79 – 7.71 (m, 1H), 7.63 (dd, *J* = 1.8, 0.6 Hz, 1H), 7.60 – 7.55 (m, 1H), 7.54 – 7.47 (m, 2H), 7.38 (t, *J* = 7.7 Hz, 1H), 7.19 (dd, *J* = 2.4, 0.7 Hz, 1H), 7.08 – 7.00 (m, 1H), 6.61 (d, *J* = 7.8 Hz, 1H), 6.22 (t, *J* = 2.1 Hz, 1H), 2.58 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 158.4, 155.6, 140.4, 138.6, 136.3, 136.0, 131.5, 131.0, 129.3, 128.6, 126.5, 121.7, 120.5, 106.5, 24.6. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ $[M + H]^+$: 236.1182; found: 236.1181.



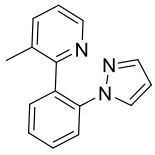
6ba

2-(2-(1*H*-pyrazol-1-yl)phenyl)-5-methylpyridine (**6ba**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 80% (18.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.46 (dt, *J* = 1.9, 0.9 Hz, 1H), 7.77 – 7.71 (m, 1H), 7.63 (d, *J* = 1.8 Hz, 1H), 7.58 – 7.53 (m, 1H), 7.51 – 7.46 (m, 2H), 7.30 – 7.26 (m, 1H), 7.18 (d, *J* = 2.4 Hz, 1H), 6.64 (dd, *J* = 8.0, 0.8 Hz, 1H), 6.23 (t, *J* = 2.1 Hz, 1H), 2.30 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 153.4, 150.1, 140.5, 138.6, 136.7, 135.8, 131.8, 131.4, 131.0, 129.2, 128.6, 126.5, 123.0, 106.7, 18.2. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ [M + H]$^+$: 236.1182; found: 236.1184.



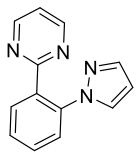
6ca

2-(2-(1*H*-pyrazol-1-yl)phenyl)-4-methylpyridine (**6ca**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 75% (17.7 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.47 (dd, *J* = 5.0, 0.9 Hz, 1H), 7.77 – 7.71 (m, 1H), 7.63 (d, *J* = 1.8 Hz, 1H), 7.60 – 7.55 (m, 1H), 7.52 – 7.45 (m, 2H), 7.17 (d, *J* = 2.4 Hz, 1H), 6.97 (ddd, *J* = 5.1, 1.7, 0.8 Hz, 1H), 6.57 (dt, *J* = 1.7, 0.9 Hz, 1H), 6.22 (t, *J* = 2.1 Hz, 1H), 2.15 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.0, 149.3, 147.3, 140.4, 138.6, 136.0, 131.4, 131.0, 129.3, 128.5, 126.5, 124.4, 123.2, 106.6, 21.0. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ [M + H]$^+$: 236.1182; found: 236.1183.



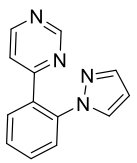
6da

2-(2-(1*H*-pyrazol-1-yl)phenyl)-3-methylpyridine (**6da**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 80% (18.8 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.52 (dd, *J* = 4.9, 1.7 Hz, 1H), 7.73 – 7.67 (m, 1H), 7.58 – 7.50 (m, 2H), 7.48 – 7.41 (m, 2H), 7.39 (ddd, *J* = 7.7, 1.7, 0.8 Hz, 1H), 7.16 (dd, *J* = 7.7, 4.8 Hz, 1H), 6.97 (d, *J* = 2.4 Hz, 1H), 6.11 (t, *J* = 2.1 Hz, 1H), 1.73 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 156.8, 147.1, 140.5, 138.8, 137.7, 134.1, 132.7, 130.8, 130.6, 129.4, 127.7, 124.8, 122.7, 106.5, 18.3. HRMS (ESI) (m/z) Calculated for $C_{15}H_{14}N_3^+$ [M + H]$^+$: 236.1182; found: 236.1183.



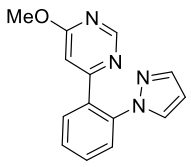
6ea

2-(2-(1*H*-pyrazol-1-yl)phenyl)pyrimidine (**6ea**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 88% (19.6 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.66 (d, *J* = 4.9 Hz, 2H), 7.91 – 7.83 (m, 1H), 7.61 – 7.53 (m, 2H), 7.51 (q, *J* = 4.4, 3.8 Hz, 2H), 7.46 (d, *J* = 2.4 Hz, 1H), 7.13 (t, *J* = 4.9 Hz, 1H), 6.29 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 165.8, 157.1, 140.4, 139.3, 134.5, 131.4, 130.4, 130.3, 128.1, 125.8, 119.0, 106.6. HRMS (ESI) (m/z) Calculated for $C_{13}H_{11}N_4^+$ [M + H]$^+$: 223.0978; found: 223.0978.



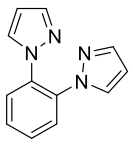
6fa

4-(2-(1*H*-pyrazol-1-yl)phenyl)pyrimidine (**6fa**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 81% (18.1 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 9.22 (s, 1H), 8.50 (d, *J* = 5.2 Hz, 1H), 7.88 – 7.82 (m, 1H), 7.64 (d, *J* = 1.9 Hz, 1H), 7.60 (s, 1H), 7.59 – 7.54 (m, 2H), 7.37 (d, *J* = 2.4 Hz, 1H), 6.66 (dd, *J* = 5.3, 1.4 Hz, 1H), 6.35 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.7, 158.9, 156.5, 141.0, 138.8, 133.5, 131.1, 131.1, 131.0, 129.1, 126.8, 120.4, 107.5. HRMS (ESI) (m/z) Calculated for $C_{13}H_{11}N_4^+$ [M + H]$^+$: 223.0978; found: 223.0976.



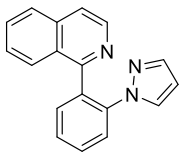
6ga

4-(2-(1*H*-pyrazol-1-yl)phenyl)-6-methoxypyrimidine (**6ga**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 61% (15.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.77 (d, *J* = 1.2 Hz, 1H), 7.81 (dt, *J* = 6.4, 1.6 Hz, 1H), 7.66 (d, *J* = 1.7 Hz, 1H), 7.58 – 7.50 (m, 3H), 7.38 – 7.35 (m, 1H), 6.34 (t, *J* = 2.1 Hz, 1H), 6.16 (d, *J* = 1.2 Hz, 1H), 3.91 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 169.8, 163.8, 158.3, 140.9, 138.8, 133.8, 131.1, 130.8, 130.5, 128.8, 126.8, 107.2, 106.9, 53.9. HRMS (ESI) (m/z) Calculated for $C_{14}H_{13}N_4O^+$ $[M + H]^+$: 253.1084; found: 253.1084.



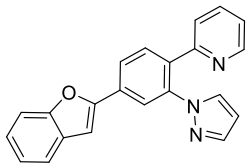
6ha

1,2-di(1*H*-pyrazol-1-yl)benzene (**6ha**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 73% (15.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71 (s, 2H), 7.70 – 7.67 (m, 2H), 7.51 (dd, *J* = 6.0, 3.5 Hz, 2H), 7.01 (d, *J* = 2.5 Hz, 2H), 6.30 (t, *J* = 2.1 Hz, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 141.2, 134.6, 130.5, 128.9, 127.0, 107.5. HRMS (ESI) (m/z) Calculated for $C_{12}H_{11}N_4^+$ $[M + H]^+$: 211.0978; found: 211.0978.



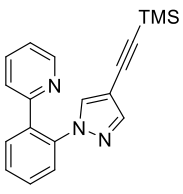
6ia

1-(2-(1*H*-pyrazol-1-yl)phenyl)isoquinoline (**6ia**): Prepared as shown in general procedure; yellow oil; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 42% (15.4 mg). ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.60 (d, *J* = 5.7 Hz, 1H), 7.82 – 7.73 (m, 2H), 7.67 – 7.59 (m, 3H), 7.59 – 7.50 (m, 3H), 7.38 – 7.30 (m, 2H), 6.93 (d, *J* = 2.5 Hz, 1H), 5.87 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 158.7, 142.3, 140.4, 139.4, 135.9, 133.2, 131.4, 130.7, 130.3, 129.9, 127.9, 127.5, 127.1, 126.6, 126.4, 125.1, 120.6, 106.5. HRMS (ESI) (m/z) Calculated for $C_{18}H_{14}N_3^+$ $[M + H]^+$: 272.1182; found: 272.1182.



7

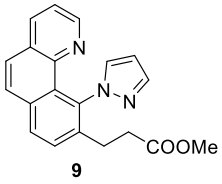
2-(4-(benzofuran-2-yl)-2-(1*H*-pyrazol-1-yl)phenyl)pyridine (**7**): Prepared as shown in general procedure; white solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 90%. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.68 (ddd, *J* = 5.0, 1.8, 1.0 Hz, 1H), 8.10 (d, *J* = 1.8 Hz, 1H), 8.01 (dd, *J* = 8.2, 1.8 Hz, 1H), 7.89 (d, *J* = 8.2 Hz, 1H), 7.71 (d, *J* = 1.9 Hz, 1H), 7.63 – 7.58 (m, 1H), 7.55 – 7.47 (m, 2H), 7.31 (ddd, *J* = 8.3, 7.2, 1.4 Hz, 1H), 7.25 (dd, *J* = 6.1, 1.6 Hz, 2H), 7.19 (ddd, *J* = 7.6, 4.9, 1.1 Hz, 1H), 7.16 (d, *J* = 0.9 Hz, 1H), 6.77 (dt, *J* = 8.0, 1.1 Hz, 1H), 6.30 (t, *J* = 2.1 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 155.7, 155.1, 154.3, 149.9, 140.9, 139.1, 136.2, 135.4, 131.8, 131.7, 131.5, 129.0, 124.9, 124.7, 123.6, 123.2, 123.0, 122.4, 121.3, 111.3, 107.0, 103.0. HRMS (ESI) (m/z) Calculated for $C_{22}H_{16}N_3O^+$ $[M + H]^+$: 338.1288; found: 338.1288.



8

2-(2-(4-((trimethylsilyl)ethynyl)-1*H*-pyrazol-1-yl)phenyl)pyridine (**8**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 77%. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.65 (ddd, *J* = 4.9, 1.9, 1.0 Hz, 1H), 7.80 – 7.73 (m, 1H), 7.70 (d, *J* = 0.7 Hz, 1H), 7.58 – 7.52 (m, 2H), 7.52 – 7.48 (m, 2H), 7.42 (d, *J* = 0.7 Hz, 1H), 7.20 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 6.86 (dt, *J* = 8.0, 1.1 Hz, 1H), 0.20 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.0, 149.9, 143.5, 137.9, 136.4, 136.1, 134.2, 131.3, 129.5, 129.1, 126.6, 123.5, 122.4,

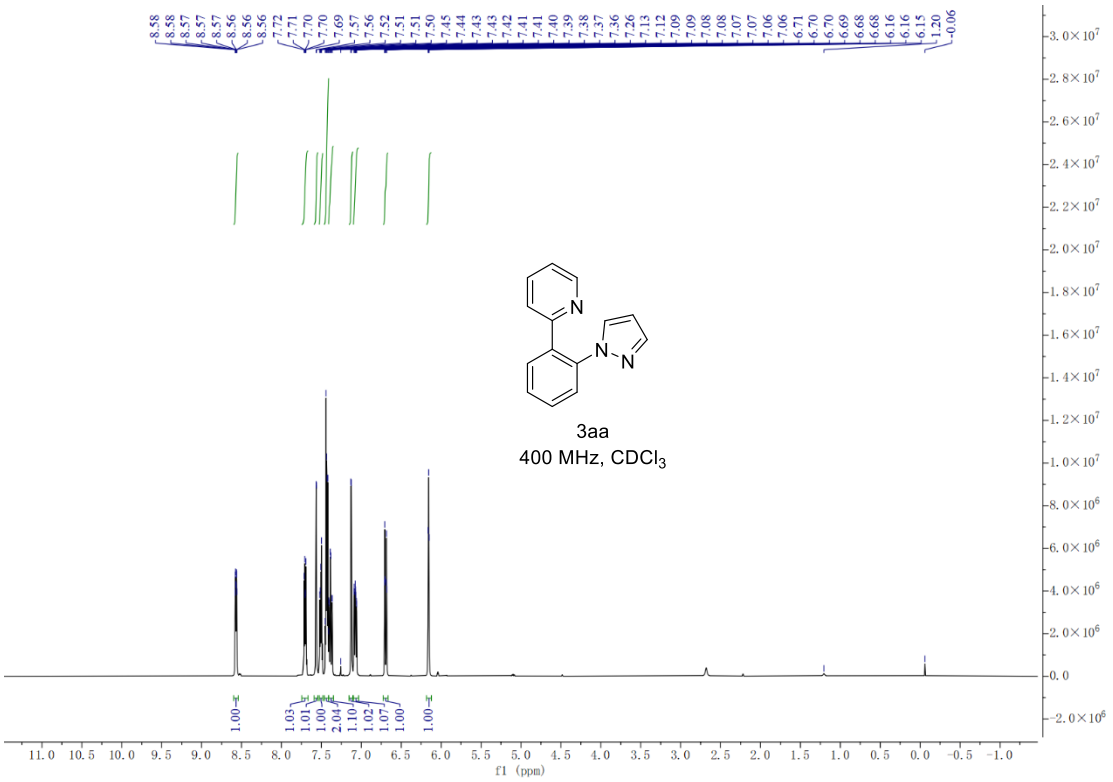
104.6, 95.9, 95.8, 0.0. HRMS (ESI) (m/z) Calculated for $C_{19}H_{20}N_3Si^+$ [M + H]$^+$: 318.1421; found: 318.1422.

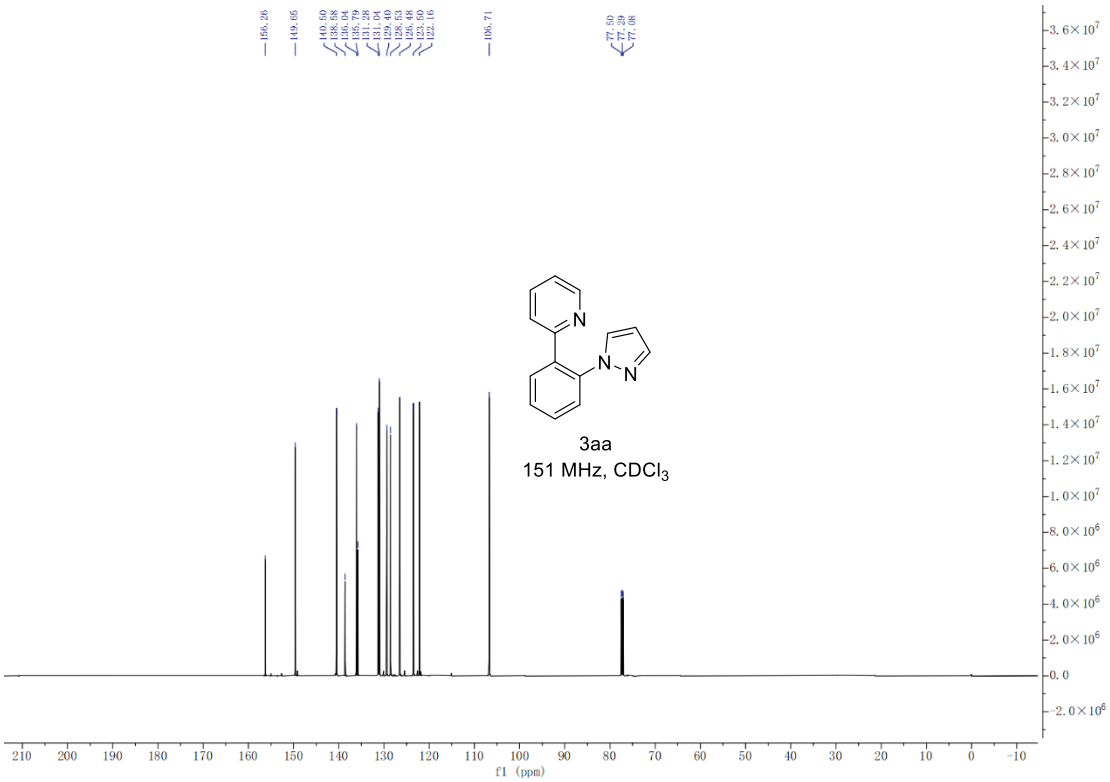


9

methyl 3-(10-(1*H*-pyrazol-1-yl)benzo[h]quinolin-9-yl)propanoate (**9**): Prepared as shown in general procedure; yellow solid; eluent (petroleum ether/EtOAc = 3:1, v/v); yield is 66%. ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.51 (dd, *J* = 4.3, 1.9 Hz, 1H), 8.05 (dd, *J* = 8.1, 1.9 Hz, 1H), 7.99 (d, *J* = 8.3 Hz, 1H), 7.84 – 7.78 (m, 2H), 7.67 (t, *J* = 8.8 Hz, 2H), 7.55 (d, *J* = 2.3 Hz, 1H), 7.33 (dd, *J* = 8.0, 4.2 Hz, 1H), 6.55 (t, *J* = 2.1 Hz, 1H), 3.63 (s, 3H), 2.85 (m, 2H), 2.64 (m, 2H). 13C NMR (101 MHz, $CDCl_3$) δ 173.2, 148.5, 145.6, 140.1, 139.5, 136.6, 135.3, 134.1, 131.9, 130.0, 129.2, 128.3, 127.6, 127.4, 126.4, 121.5, 106.1, 51.6, 35.6, 27.1. HRMS (ESI) (m/z) Calculated for $C_{20}H_{18}N_3O_2^+$ [M + H]$^+$: 332.1394; found: 332.1394.

9. NMR spectra

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135.79
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131.04
129.40
128.55
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106.71
77.50
77.29
77.08
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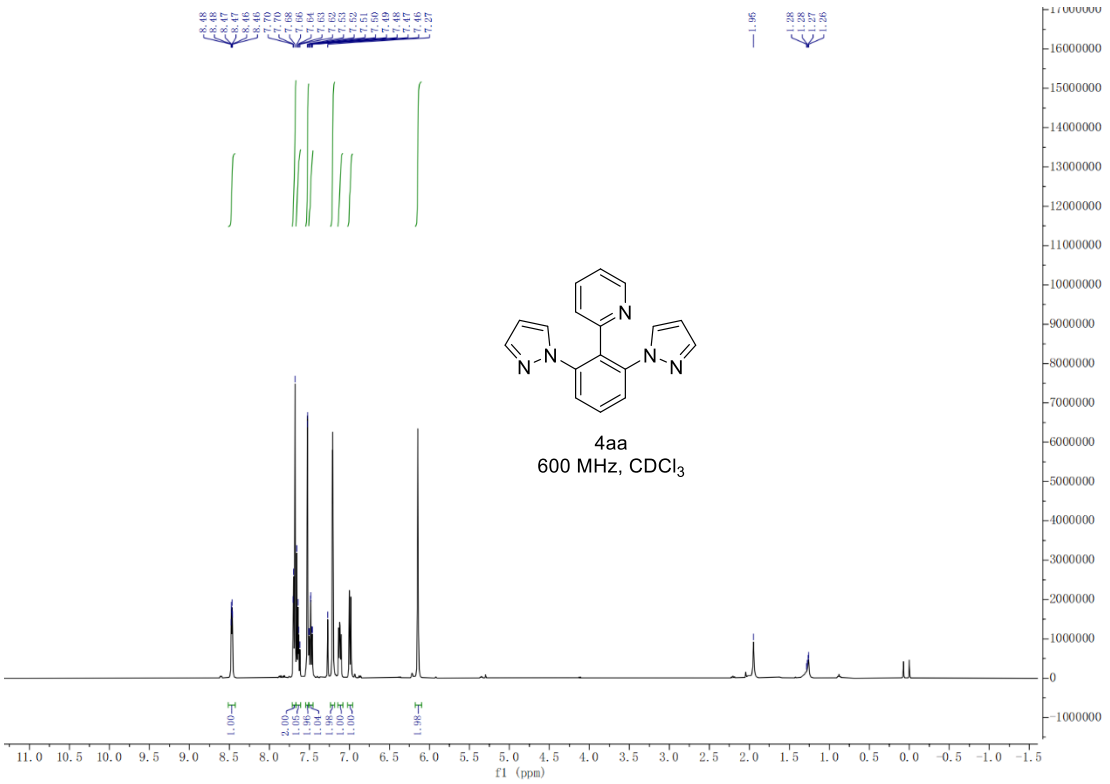
N
N
3aa
151 MHz, CDCl3
f1 (ppm)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7.51
7.49
7.49
7.48
7.47
7.46
7.27
1.96
1.28
1.28
1.27
1.26
N
N
N
N
4aa
600 MHz, CDCl3
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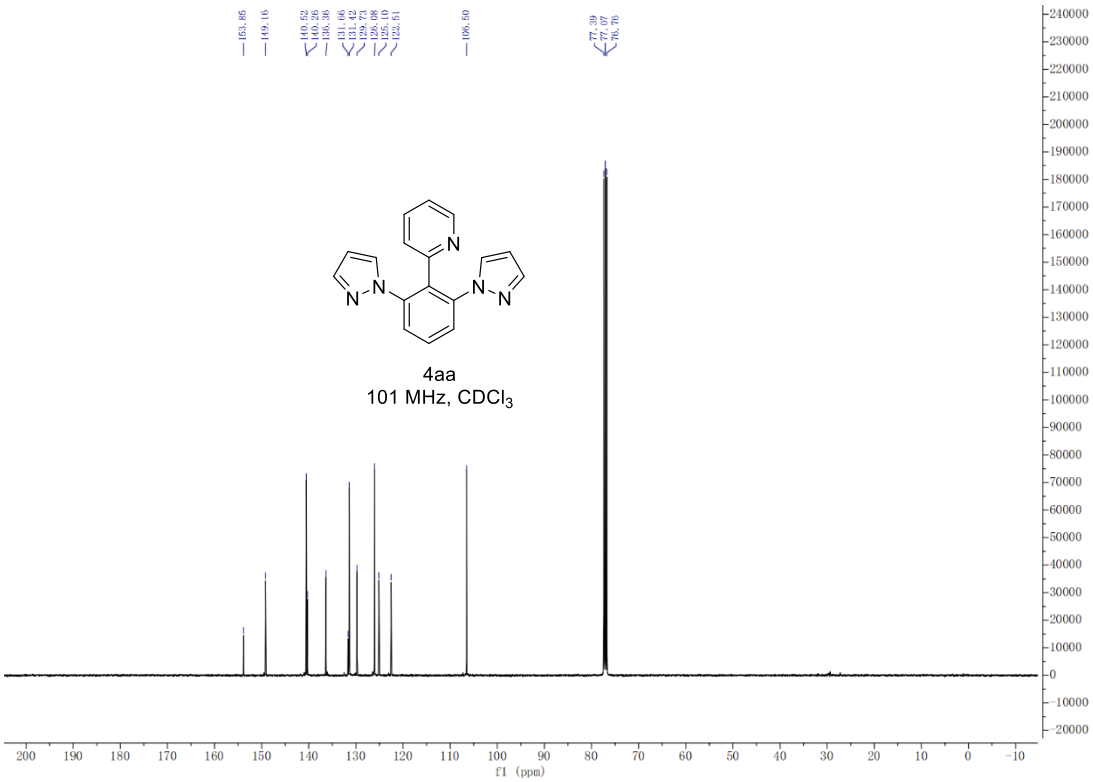
1.05
1.96
1.04
1.04
1.00
1.00
1.98
f1 (ppm)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129.73
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125.10
122.51
108.59
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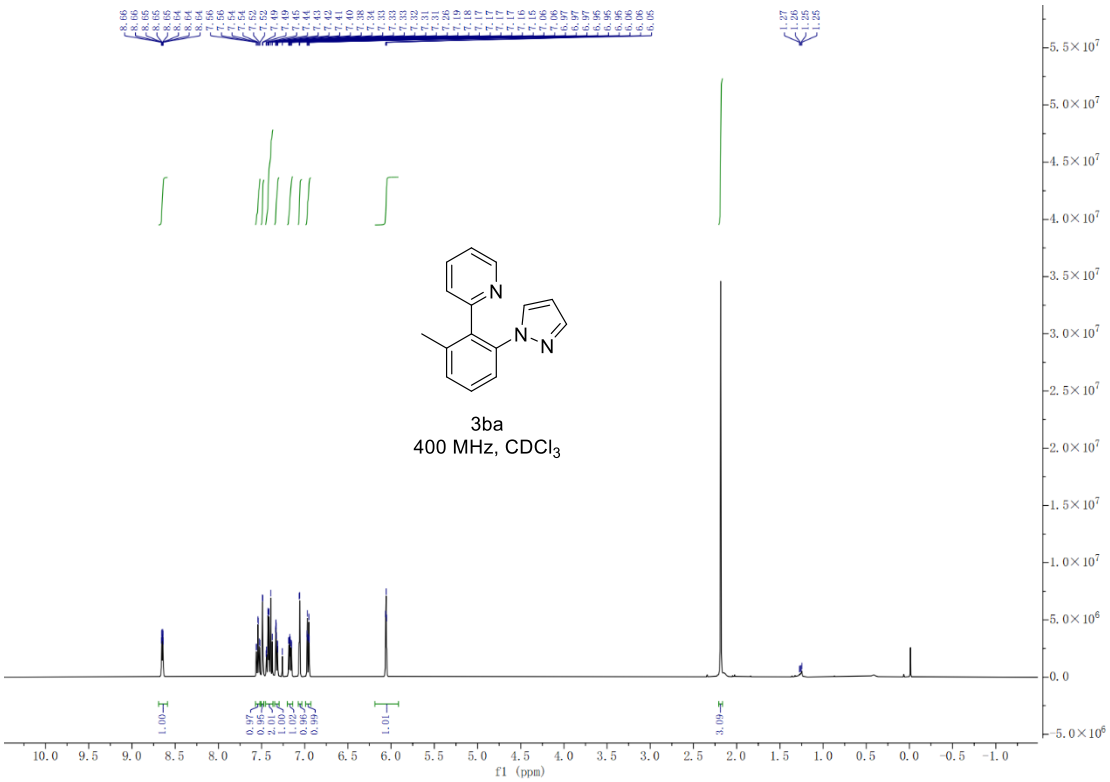
77.07
76.76
4aa
101 MHz, CDCl3
f1 (ppm)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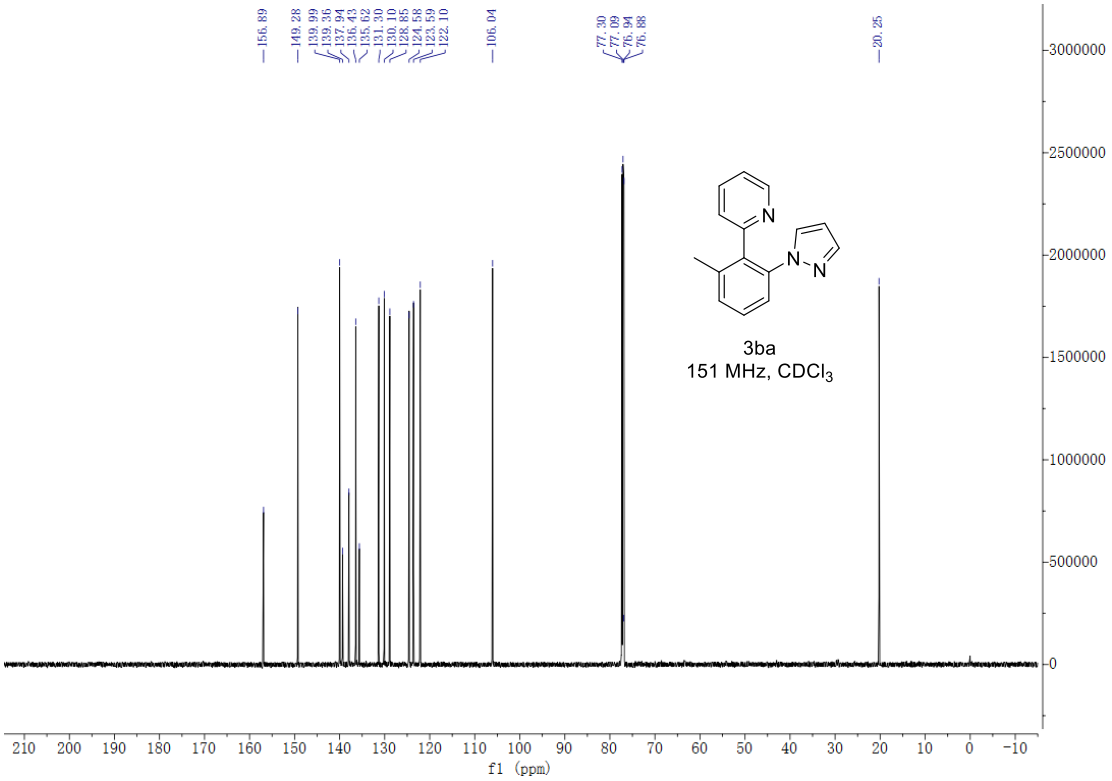
f1 (ppm)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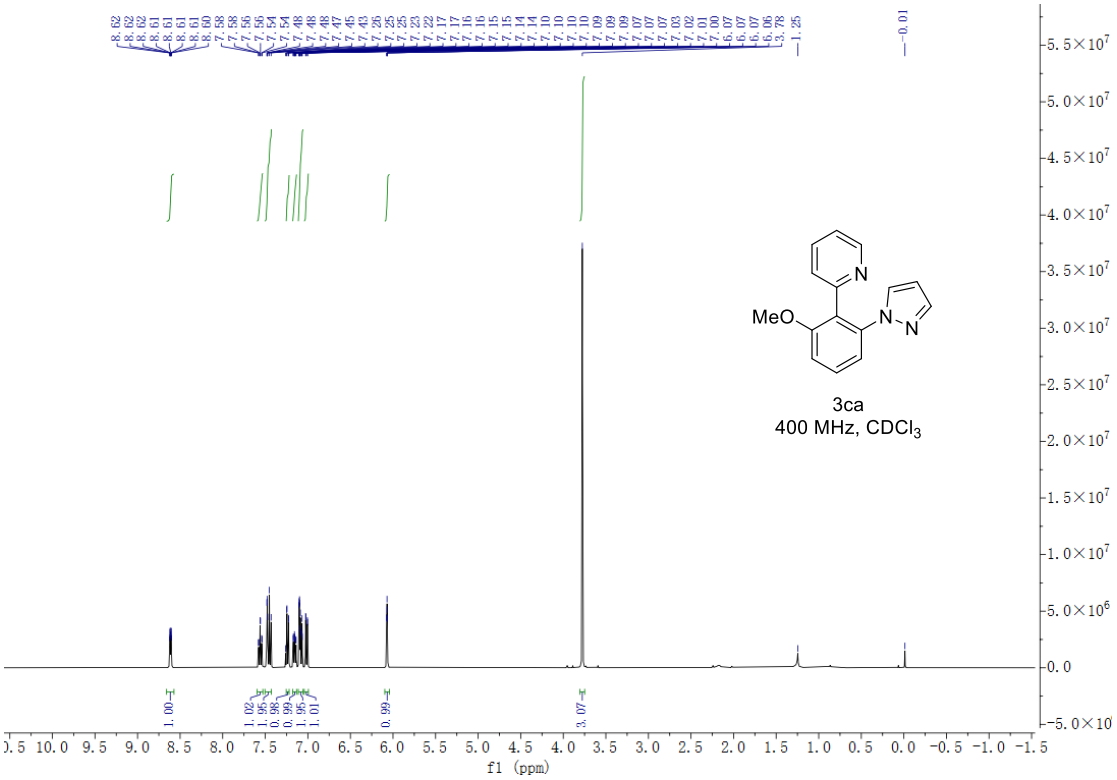
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3ca
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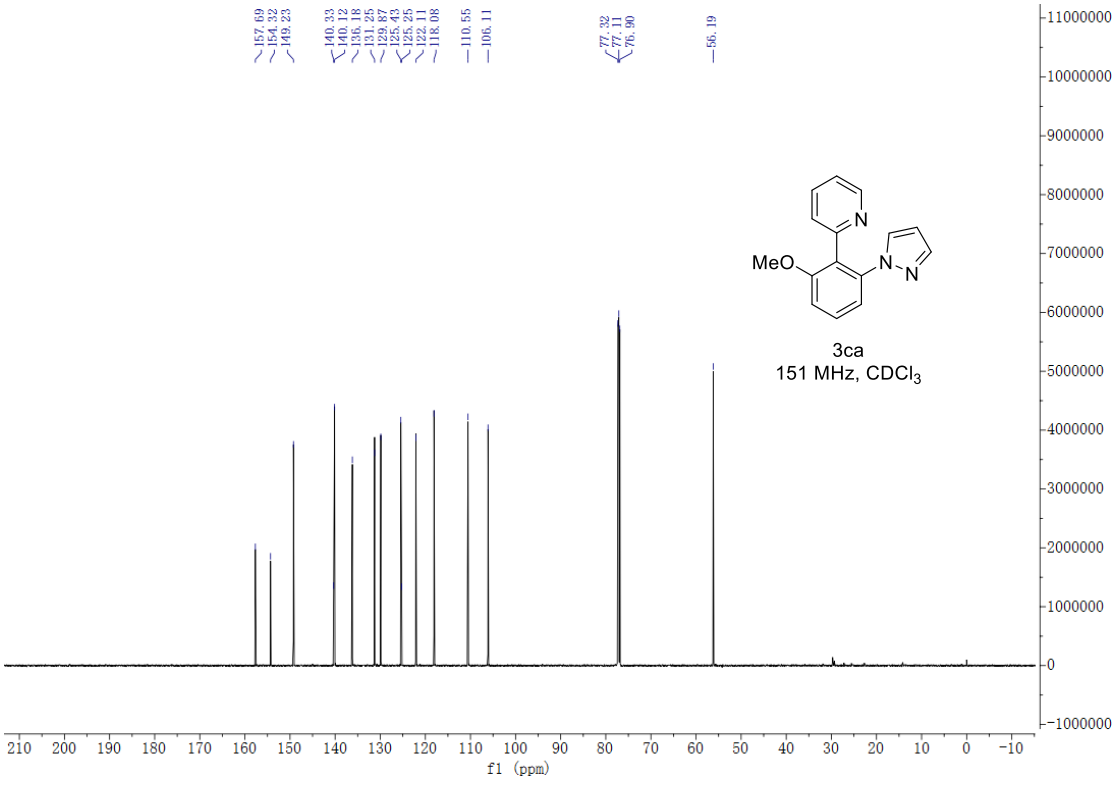
f1 (ppm)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3ca
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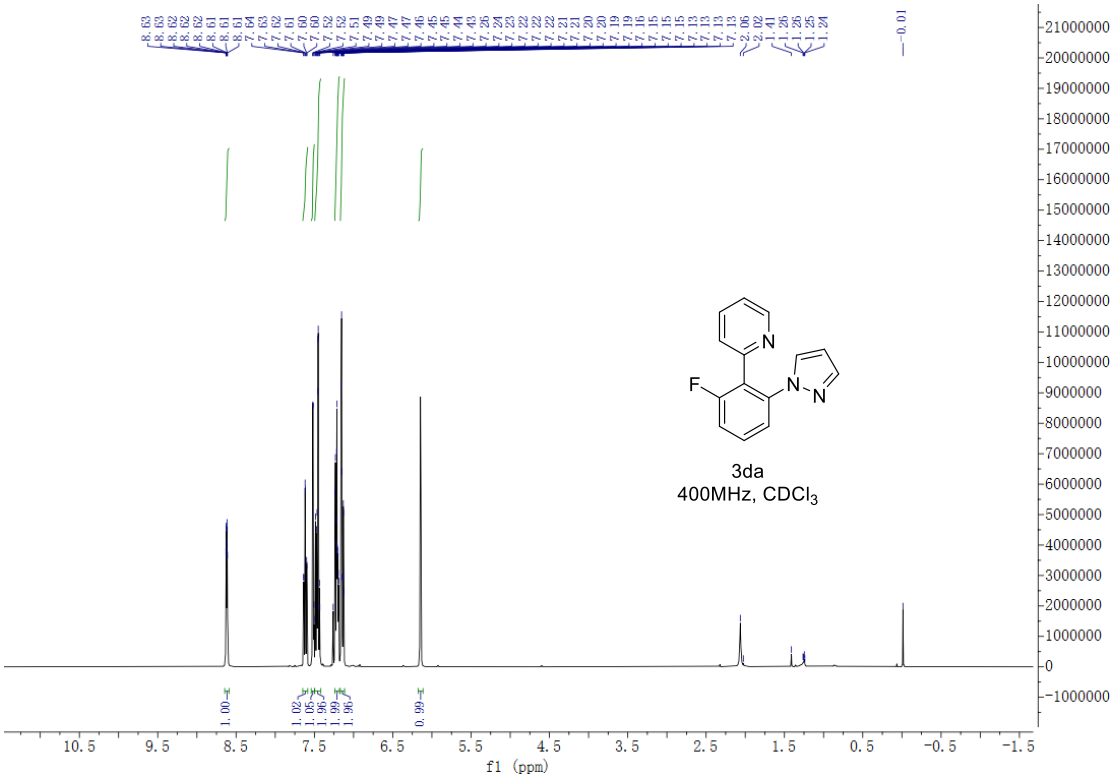
f1 (ppm)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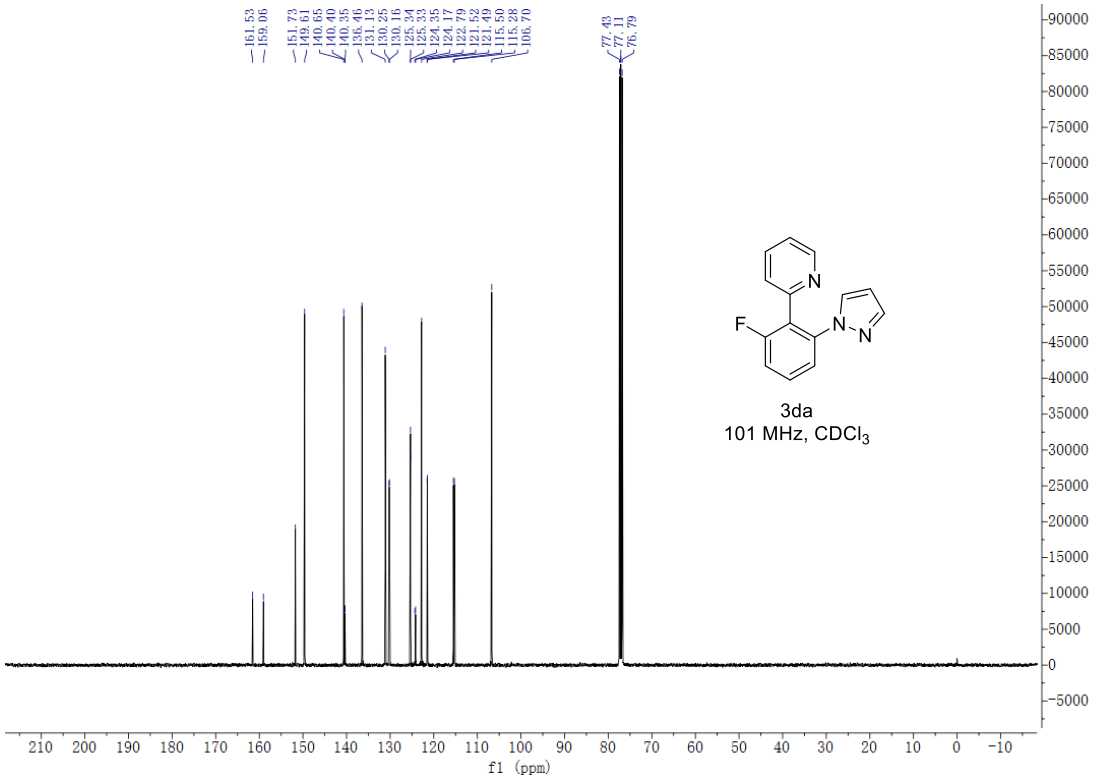
f1 (ppm)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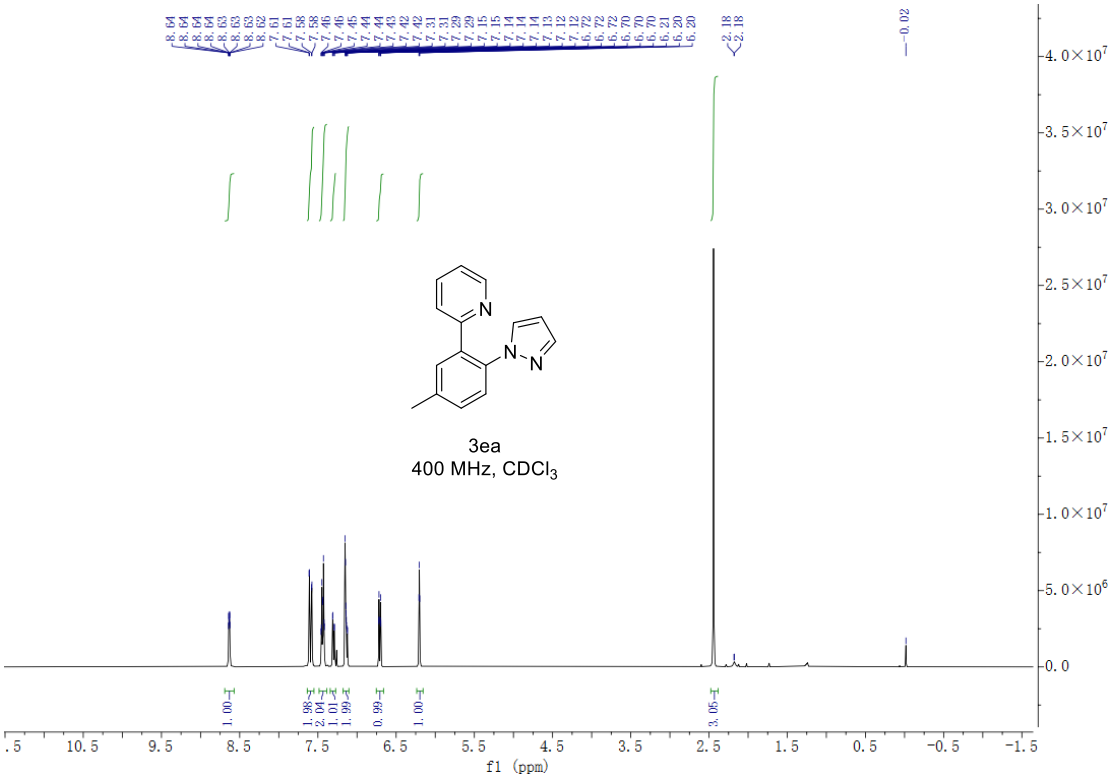
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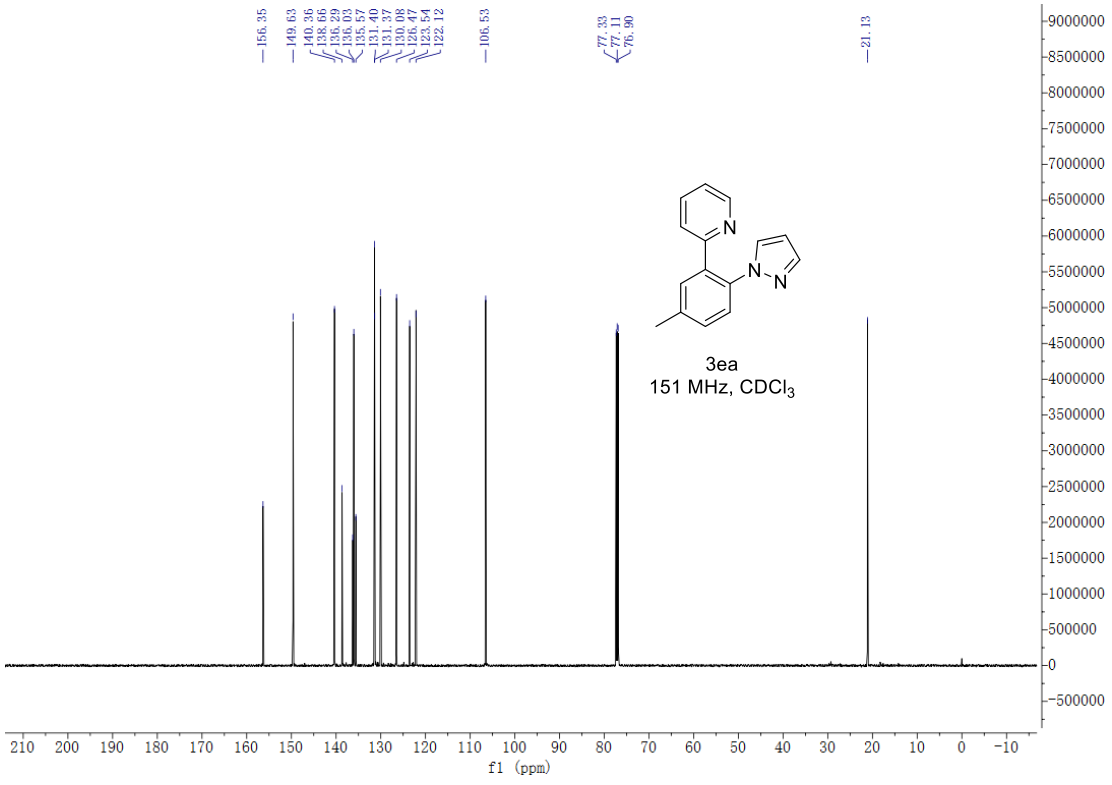
f1 (ppm)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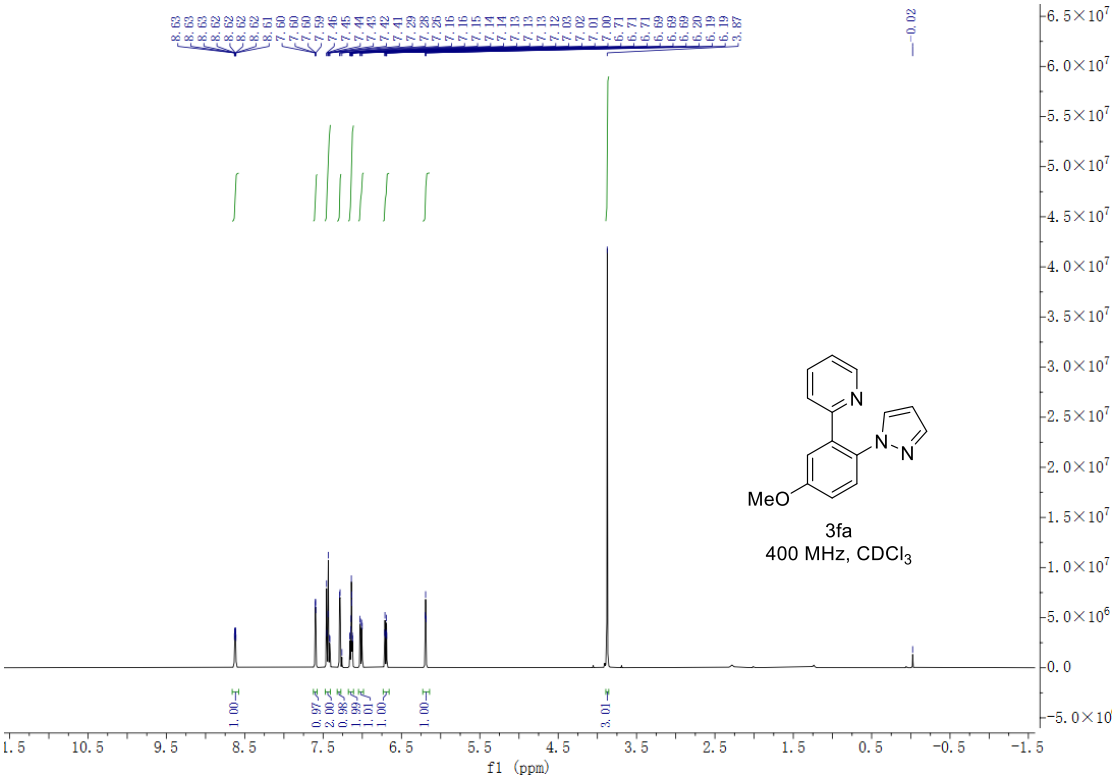
151 MHz, CDCl3
f1 (ppm)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3fa
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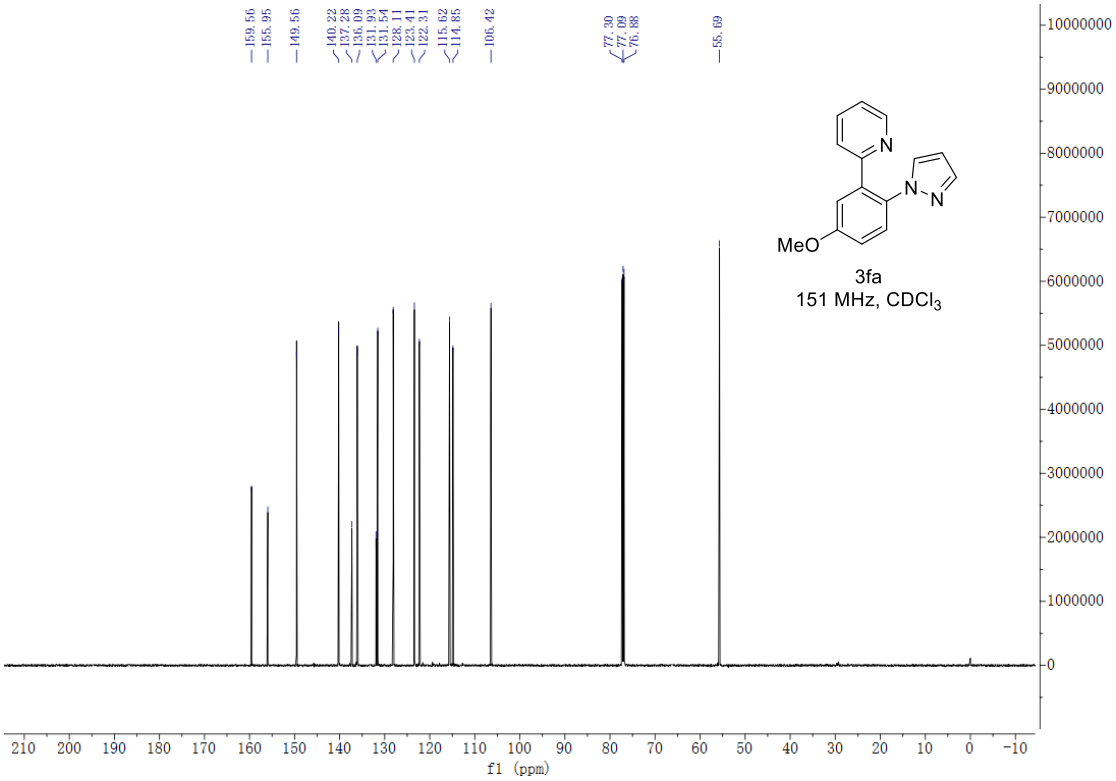
f1 (ppm)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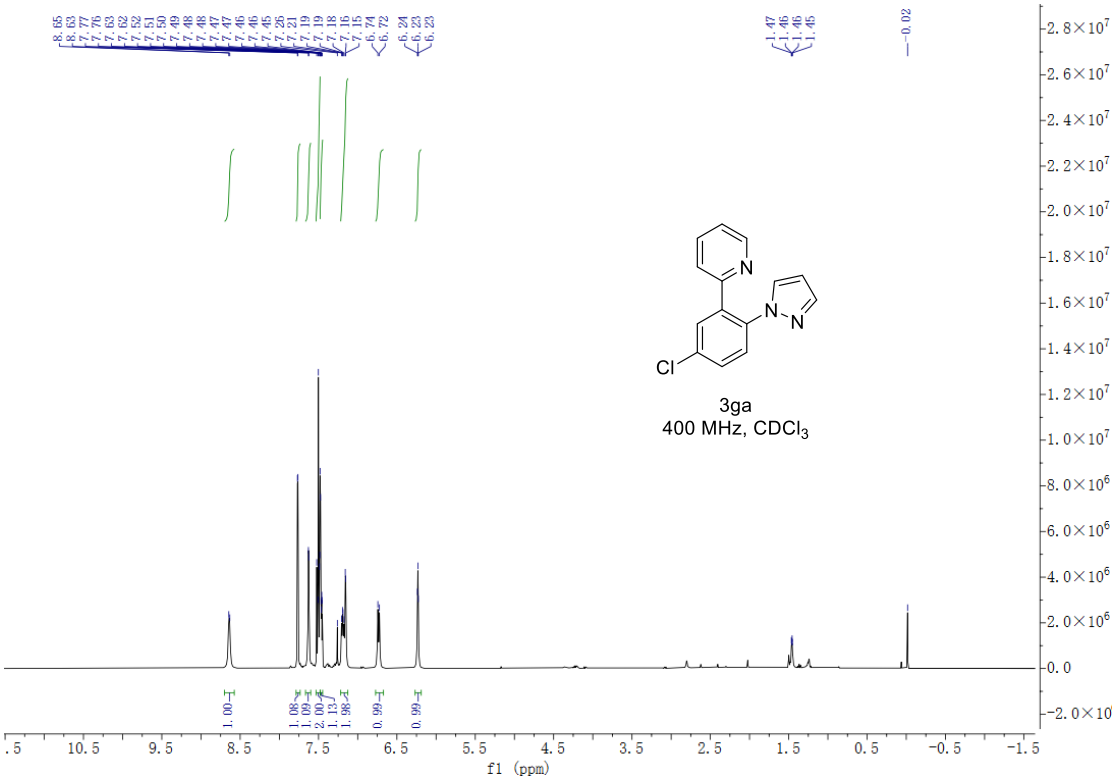
3fa
151 MHz, CDCl3
f1 (ppm)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7.18
7.16
7.15
6.74
6.72
6.24
6.23
6.23
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1.46
1.45
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N
N
N
Cl
3ga
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1.09
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1.38
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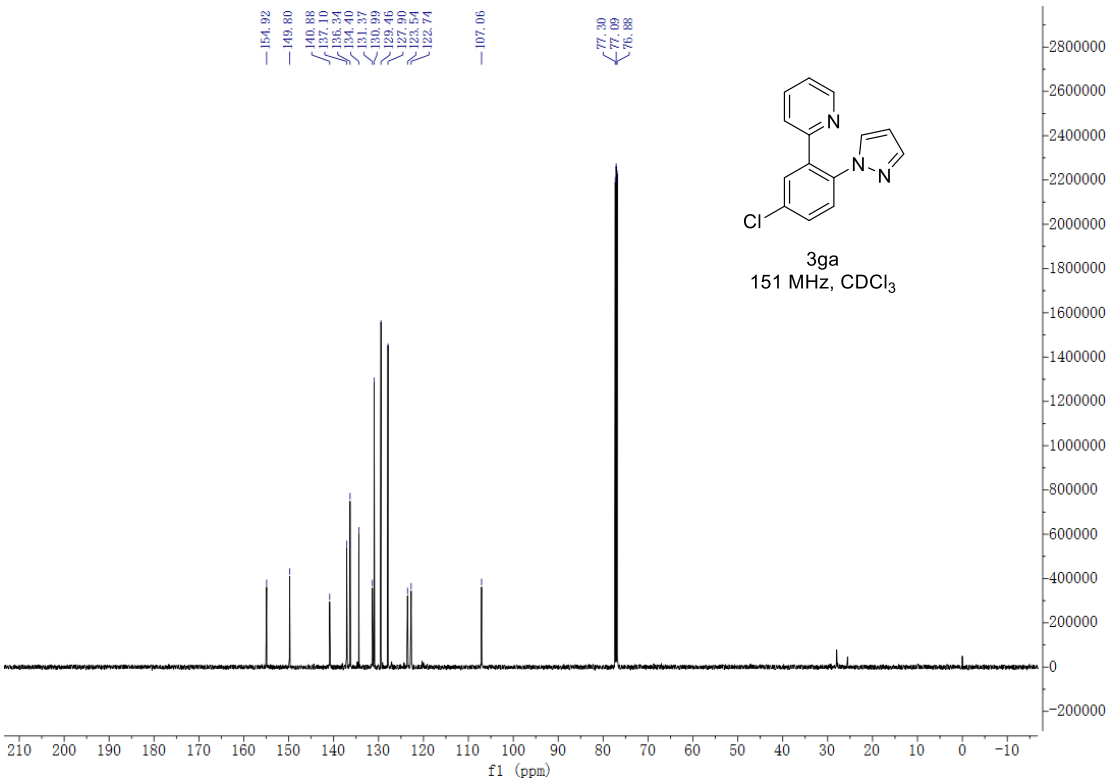
0.99
f1 (ppm)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76.88
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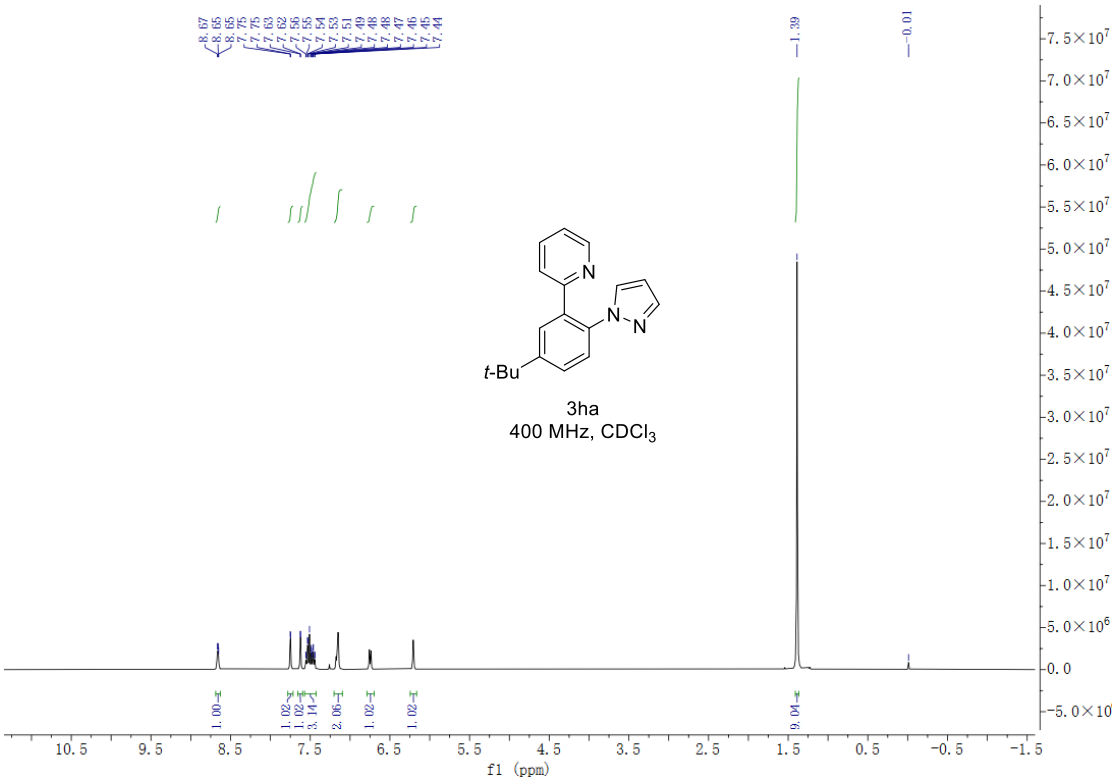
N
N
Cl
3ga
151 MHz, CDCl3
f1 (ppm)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7.49
7.48
7.47
7.46
7.45
7.44
1.39
0.01
t-Bu
N
N
N
3ha
400 MHz, CDCl3
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1.02
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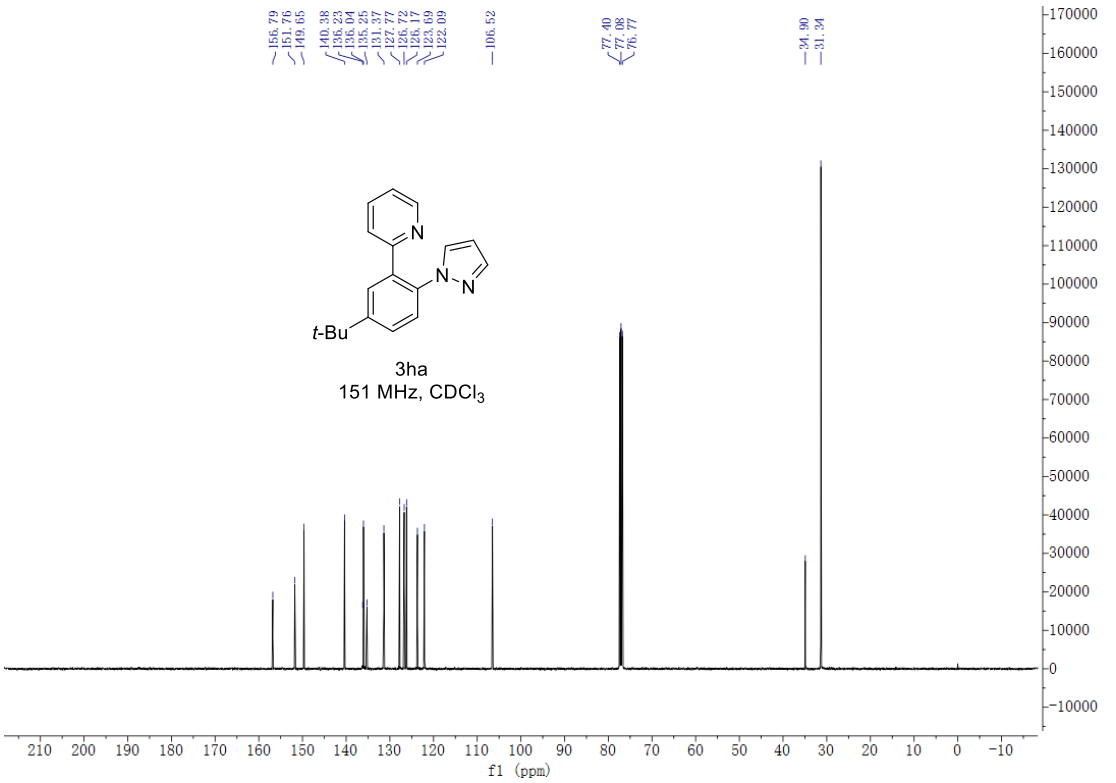
2.06
1.02
1.02
9.04
f1 (ppm)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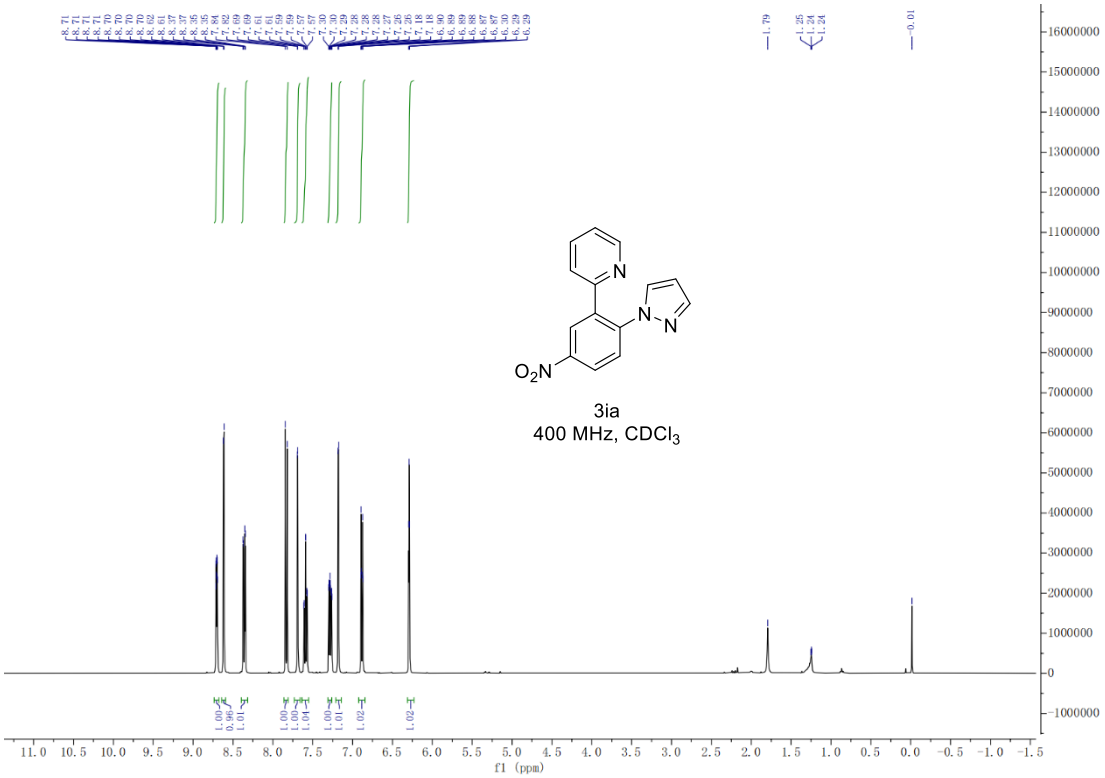
106.52
77.40
77.08
76.77
34.90
31.34
t-Bu
N
N
N
3ha
151 MHz, CDCl3
f1 (ppm)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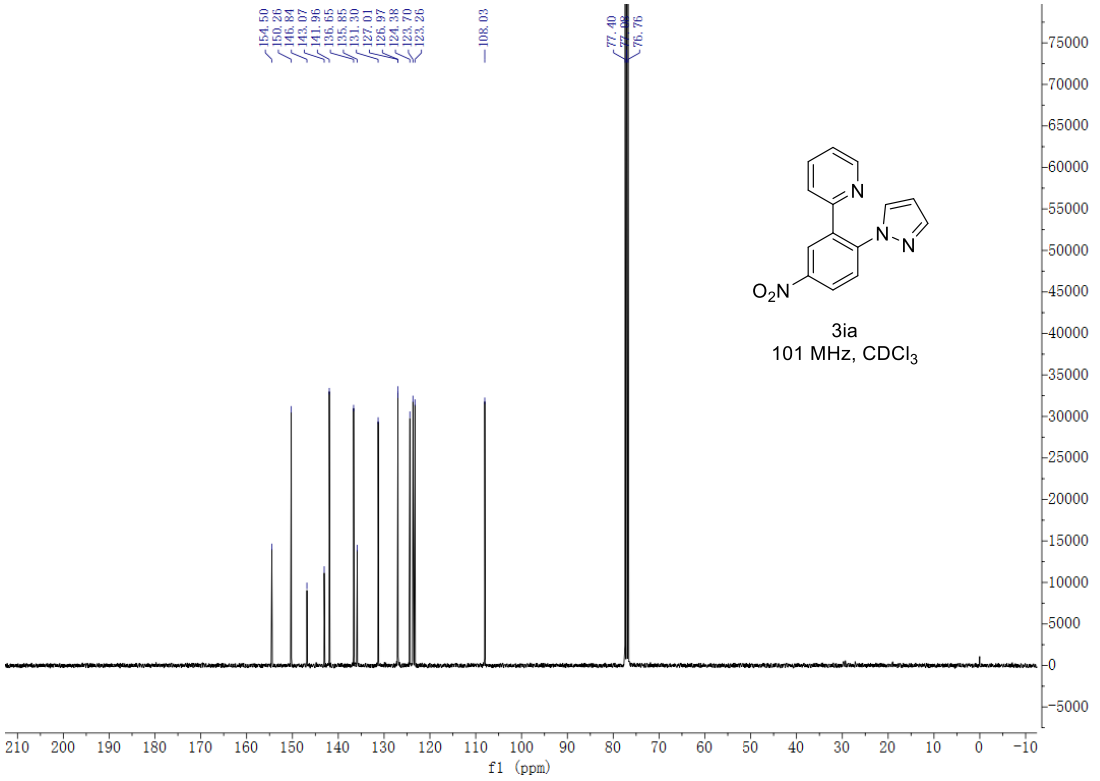
f1 (ppm)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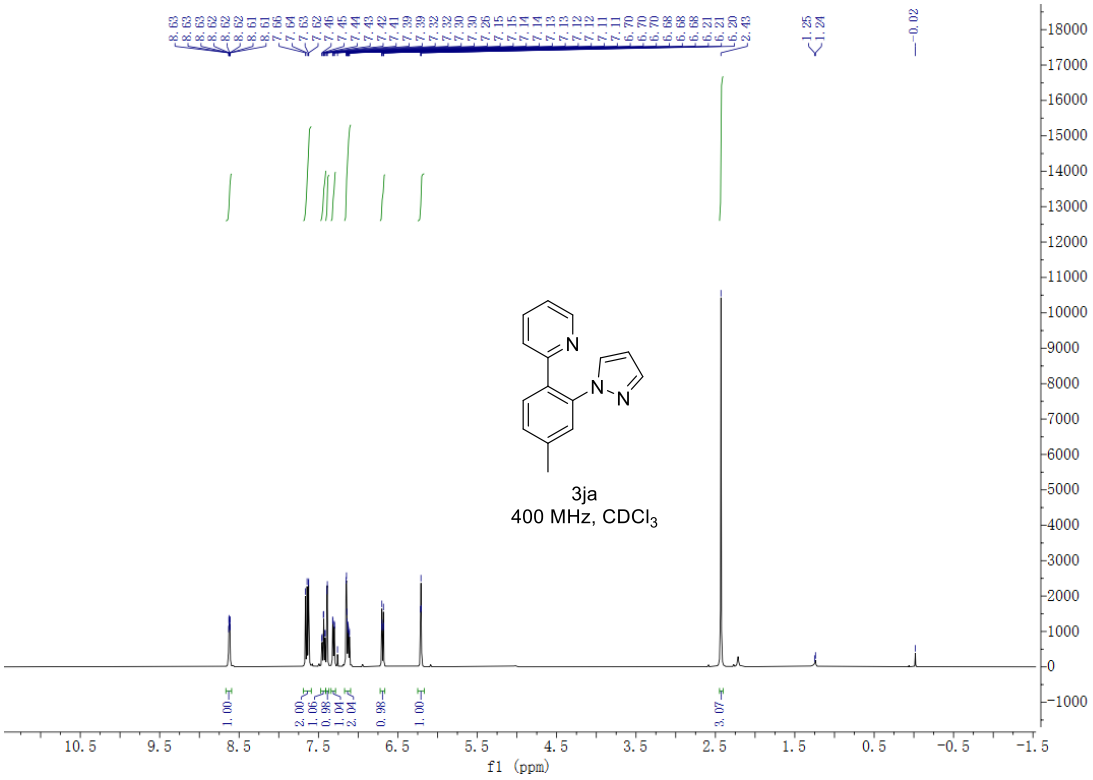
f1 (ppm)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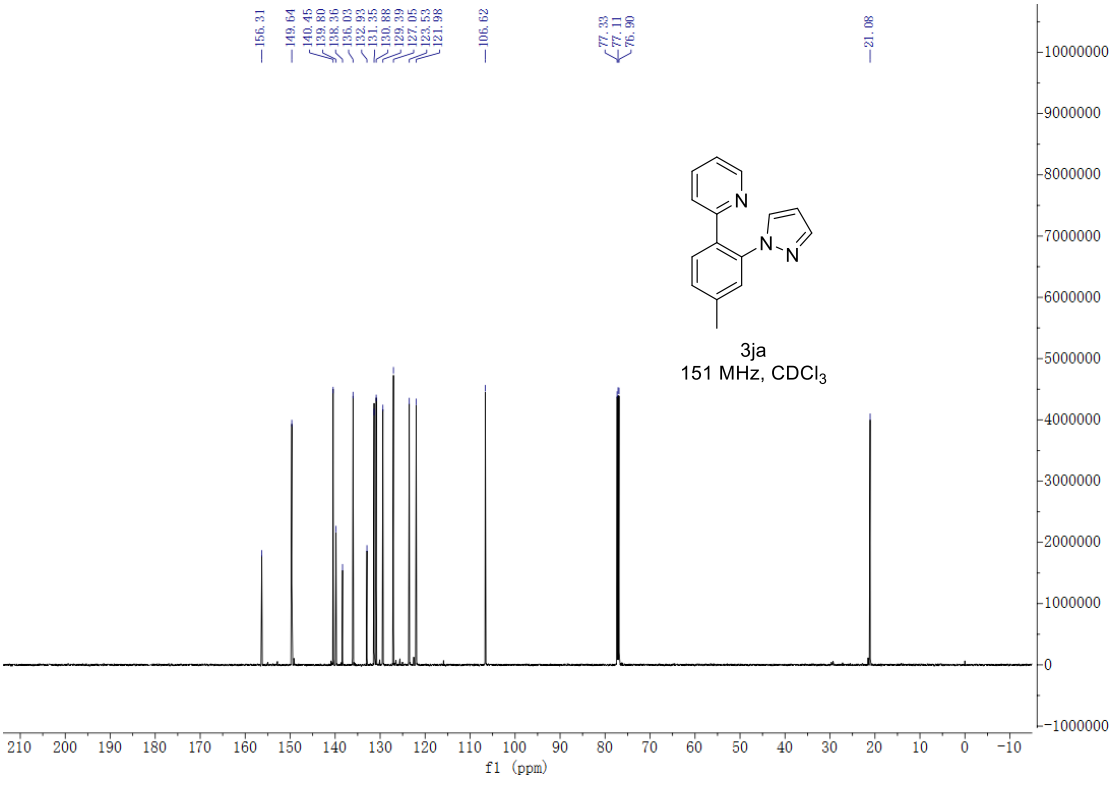
f1 (ppm)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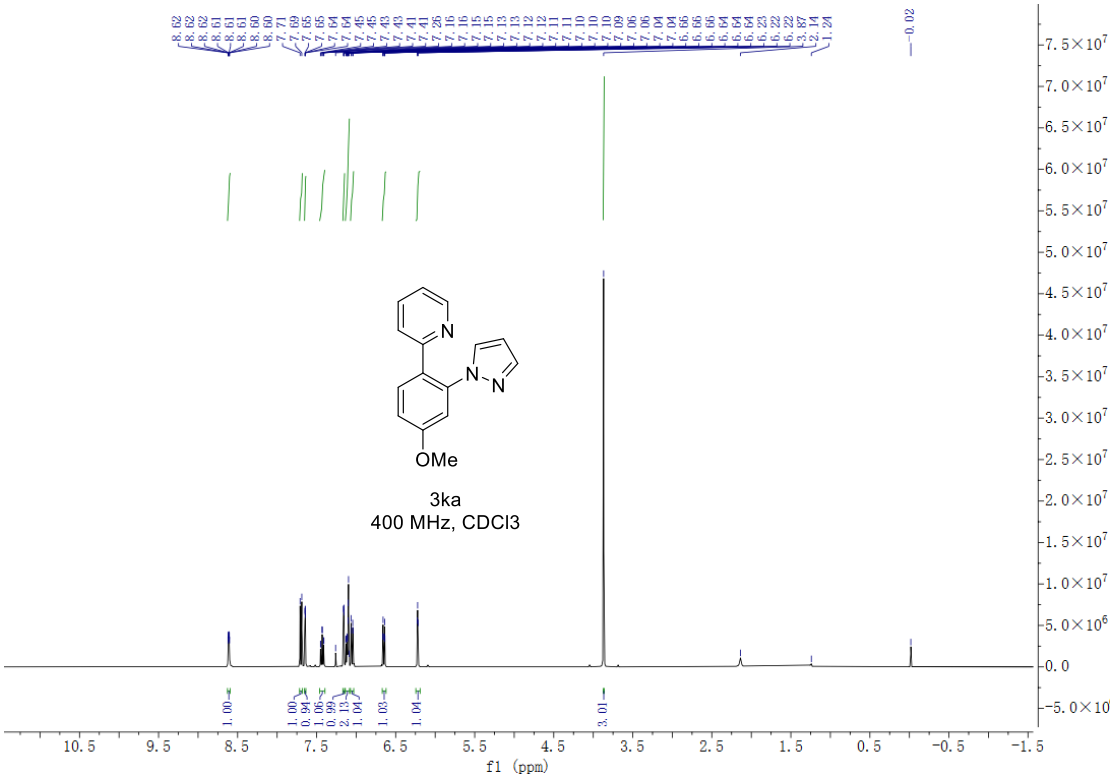
f1 (ppm)


OMe
3ka
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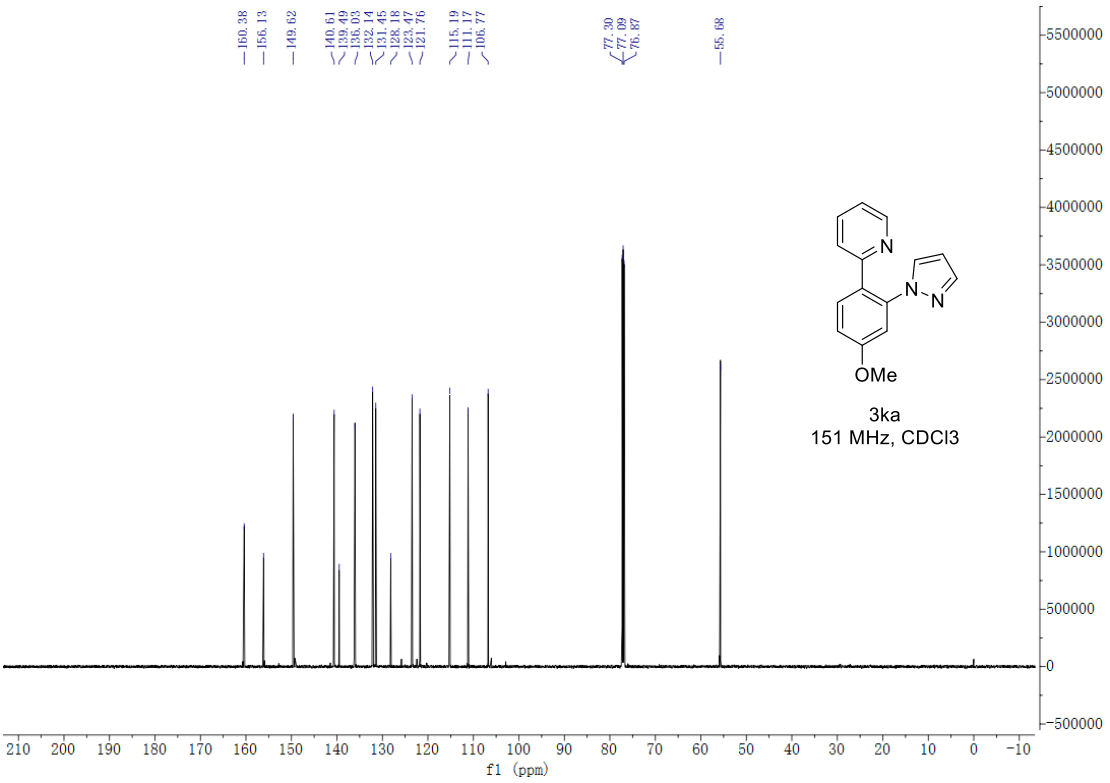
f1 (ppm)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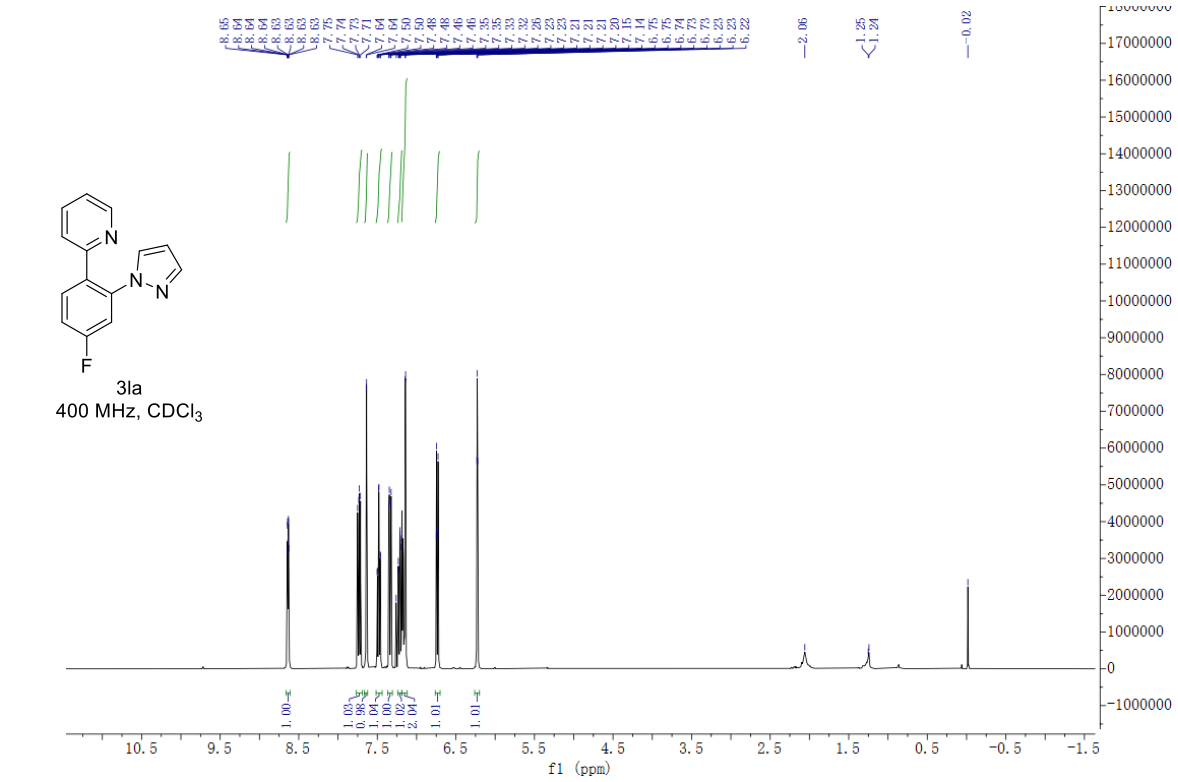
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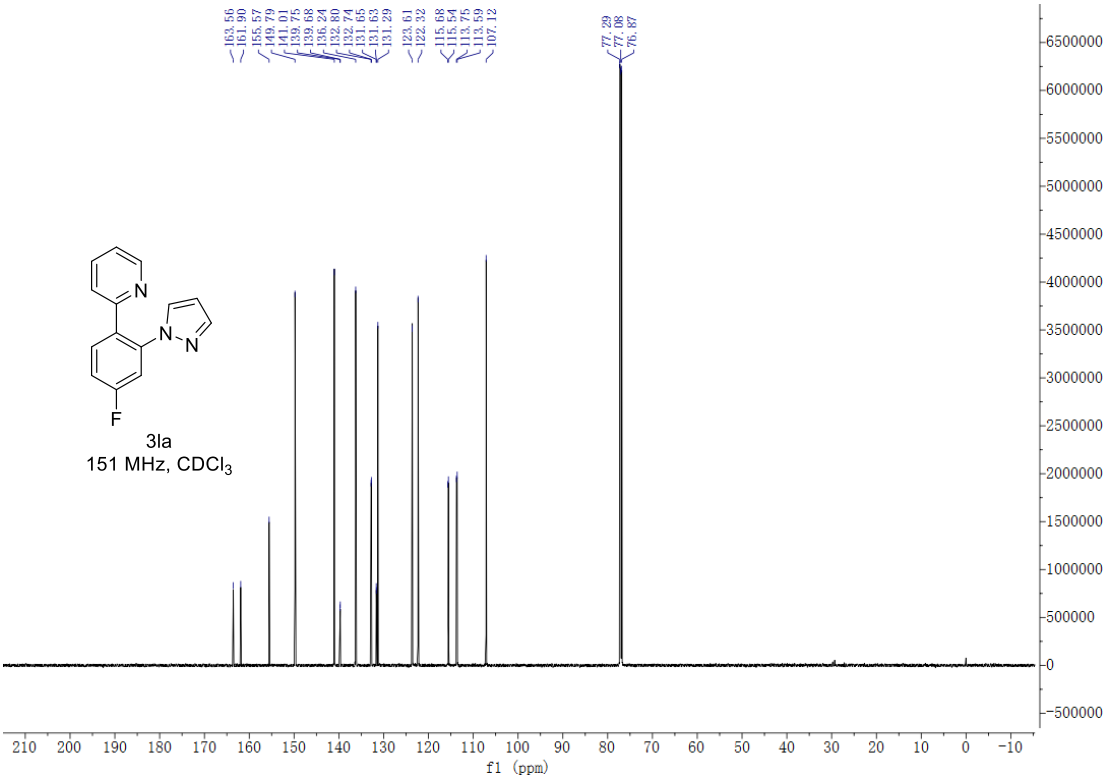
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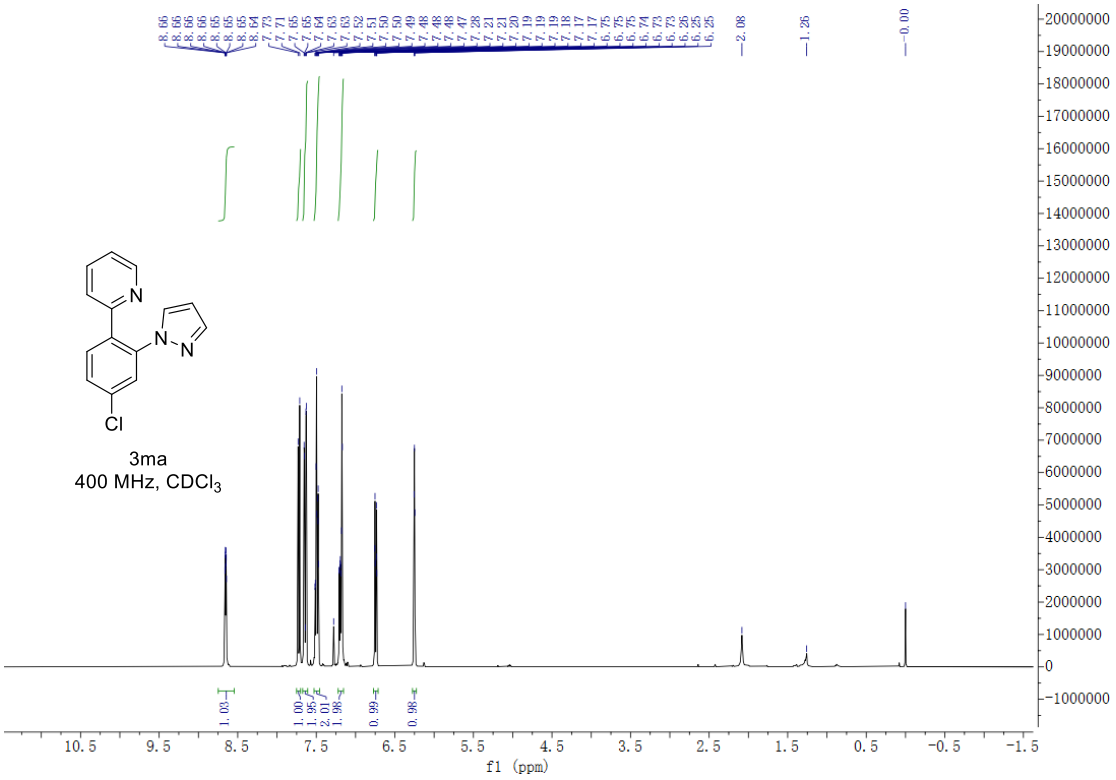
f1 (ppm)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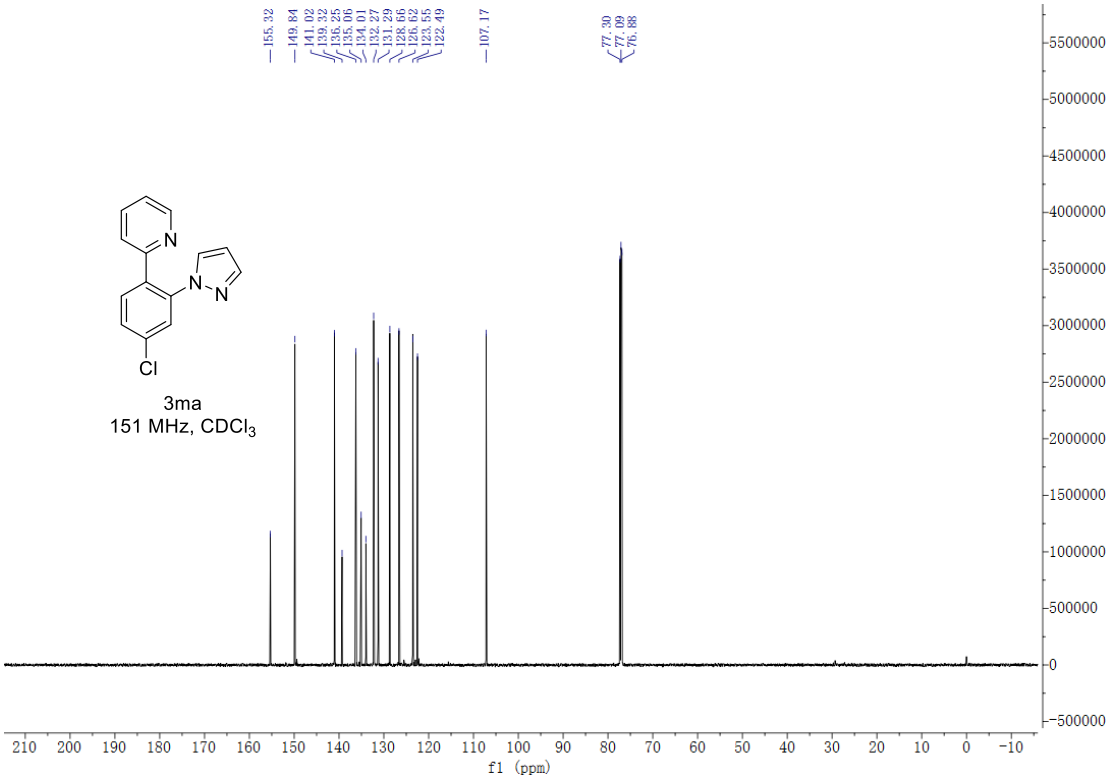
f1 (ppm)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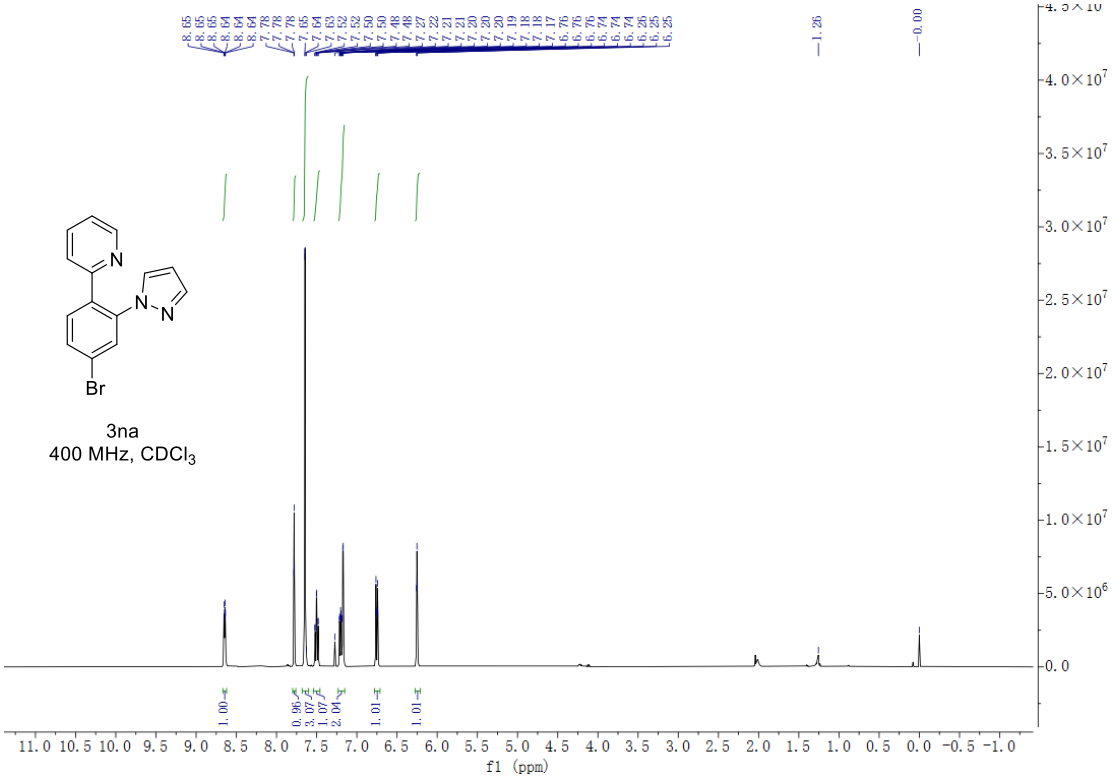
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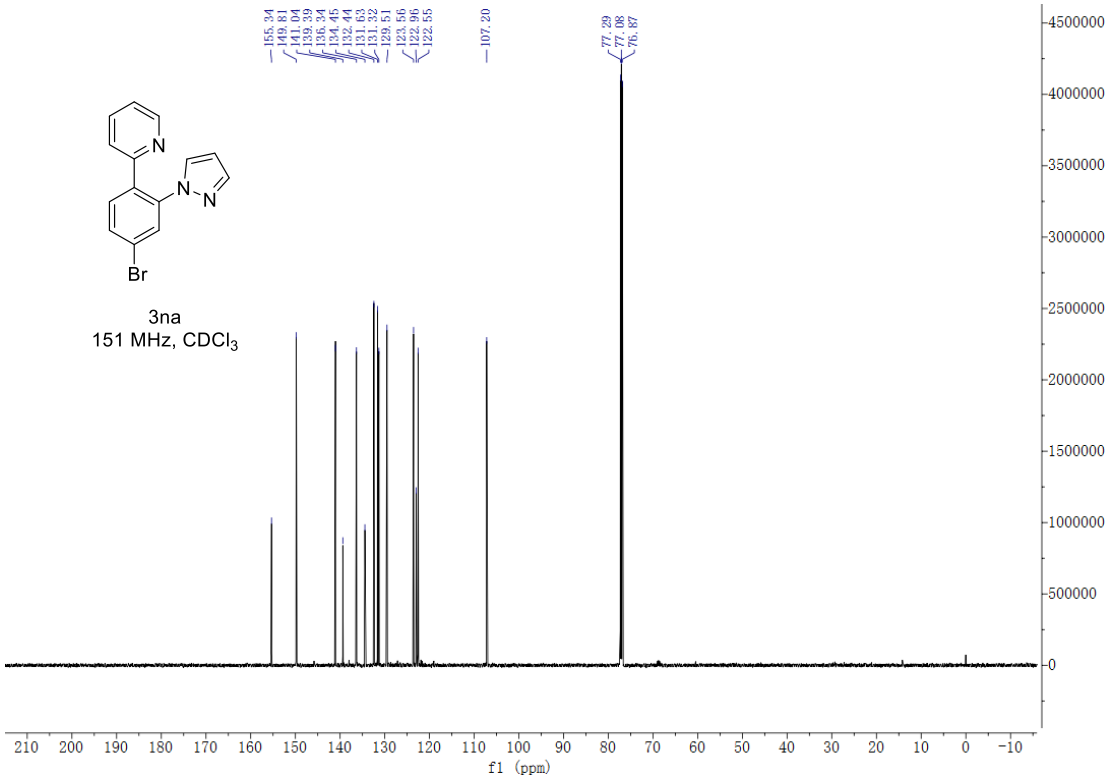
f1 (ppm)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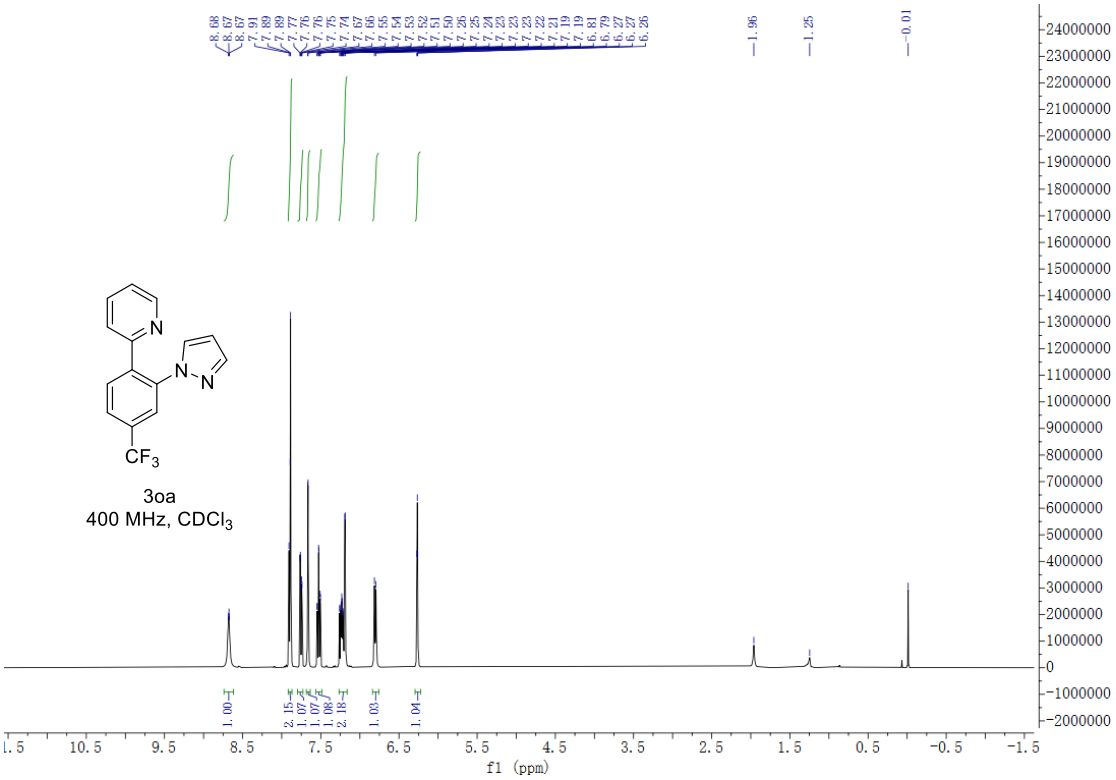
f1 (ppm)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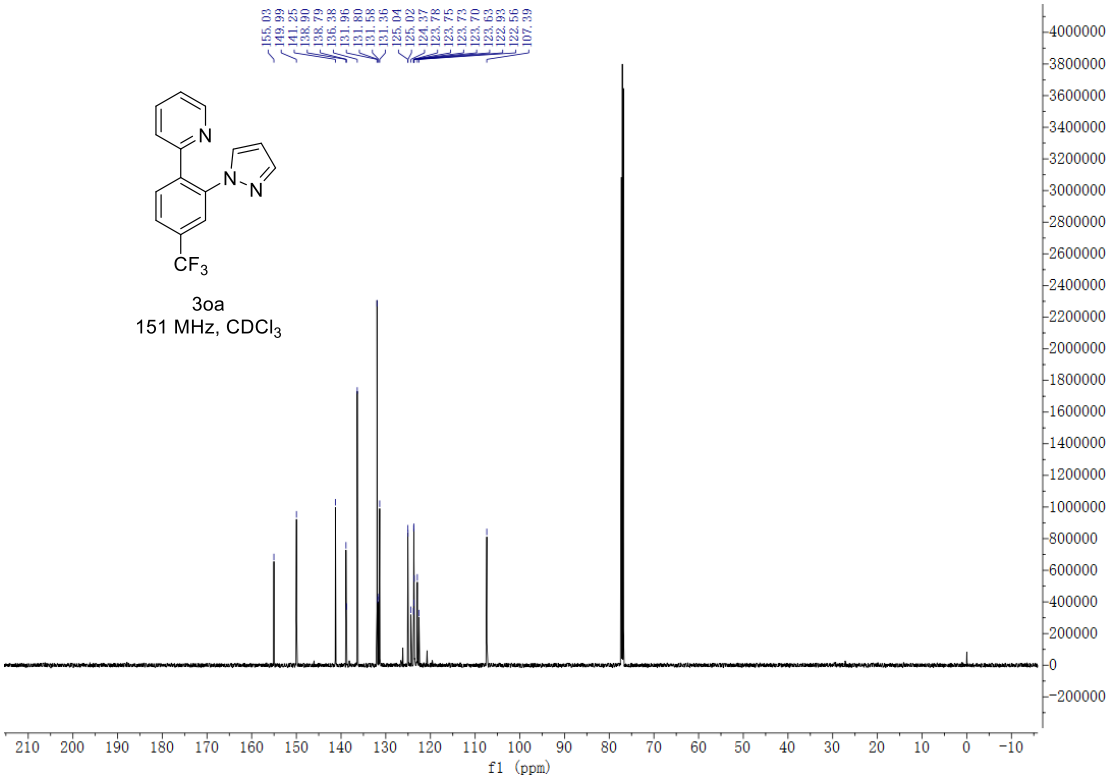
f1 (ppm)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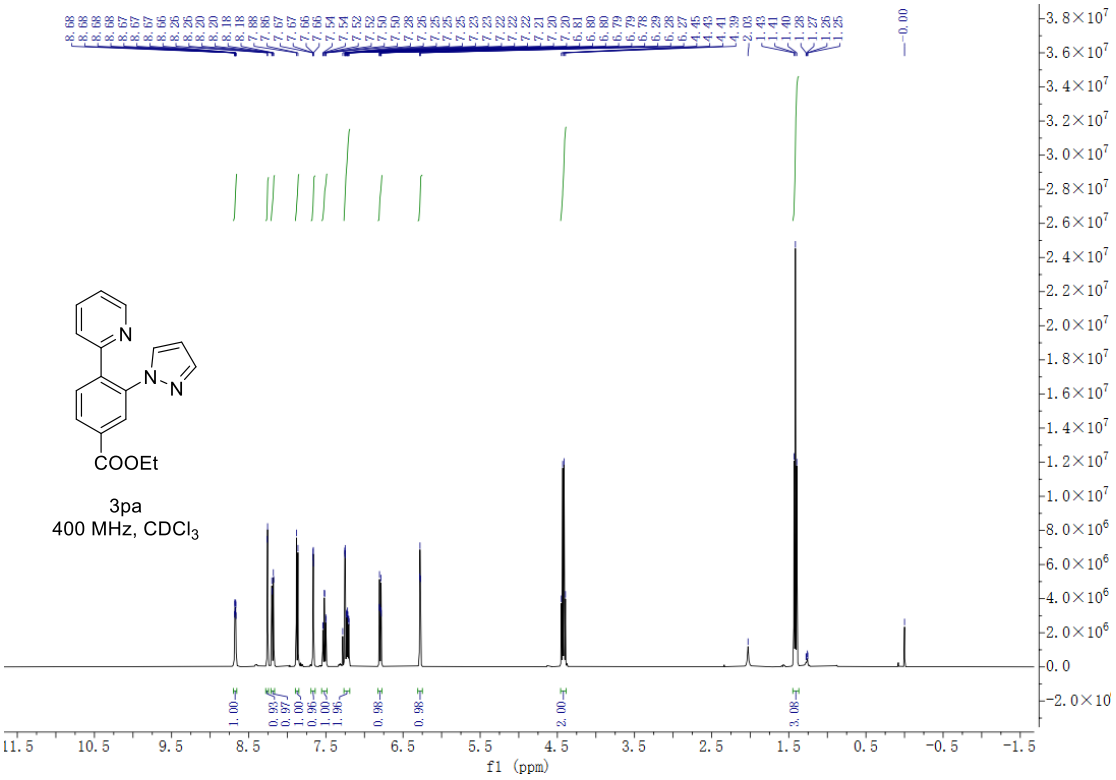
COOEt
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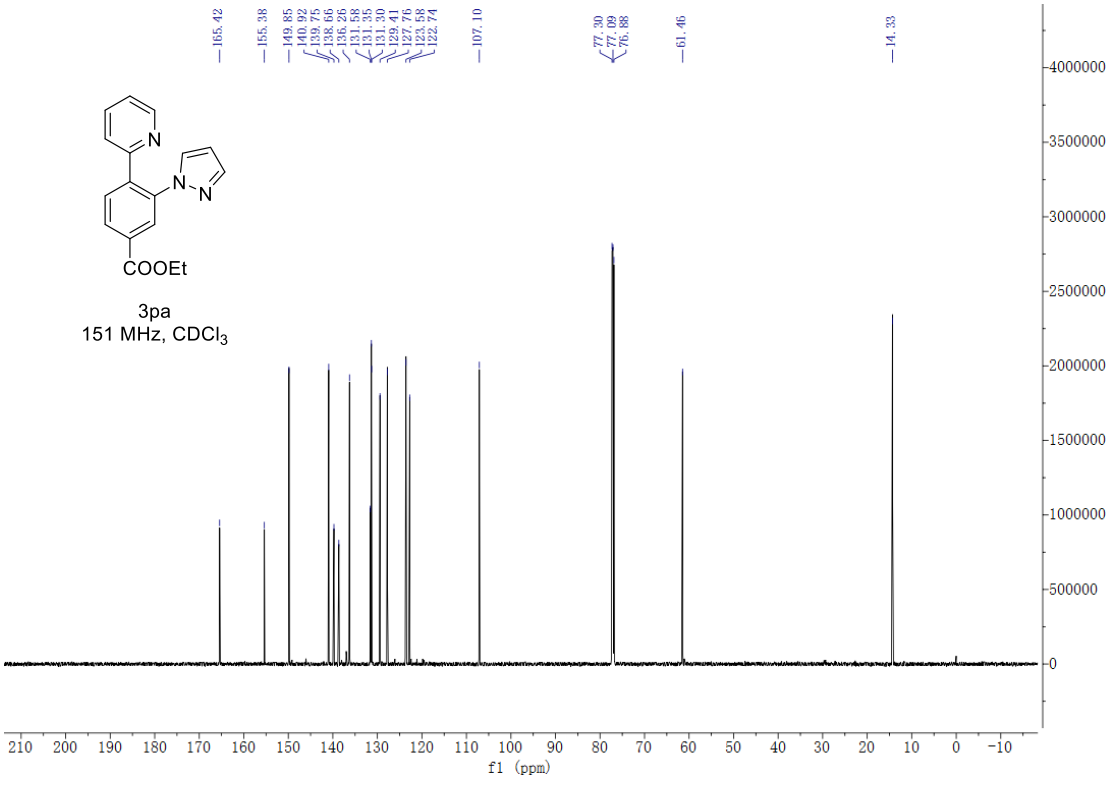
f1 (ppm)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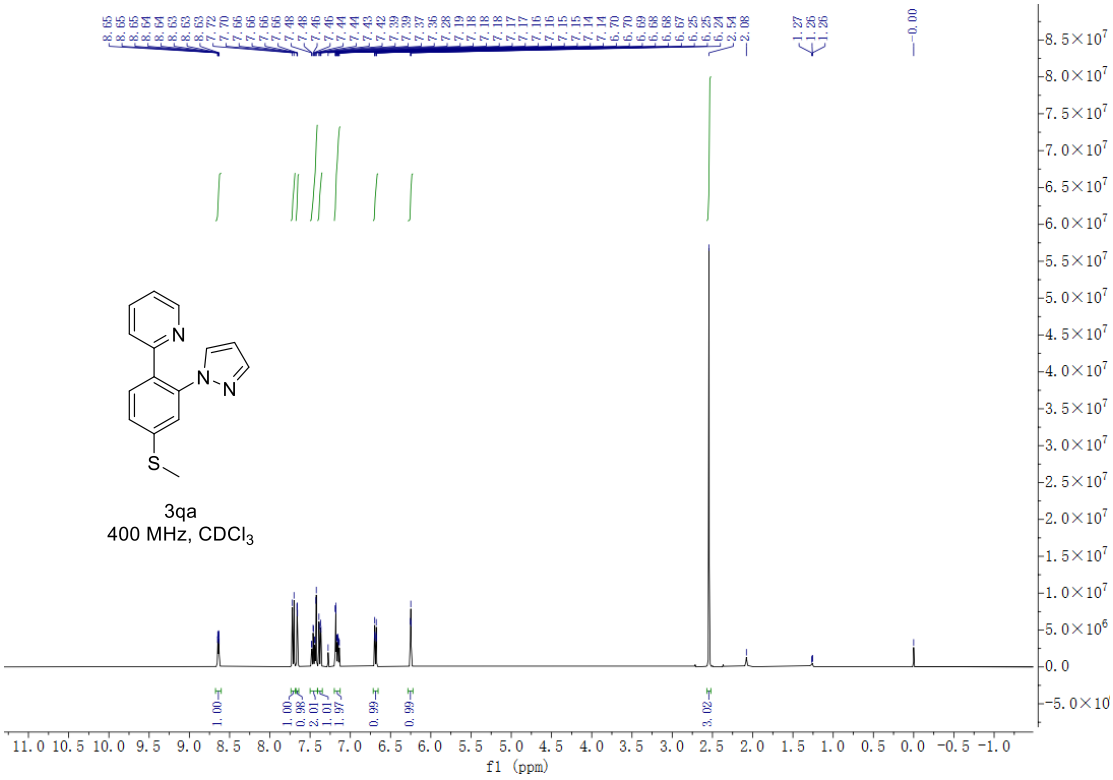
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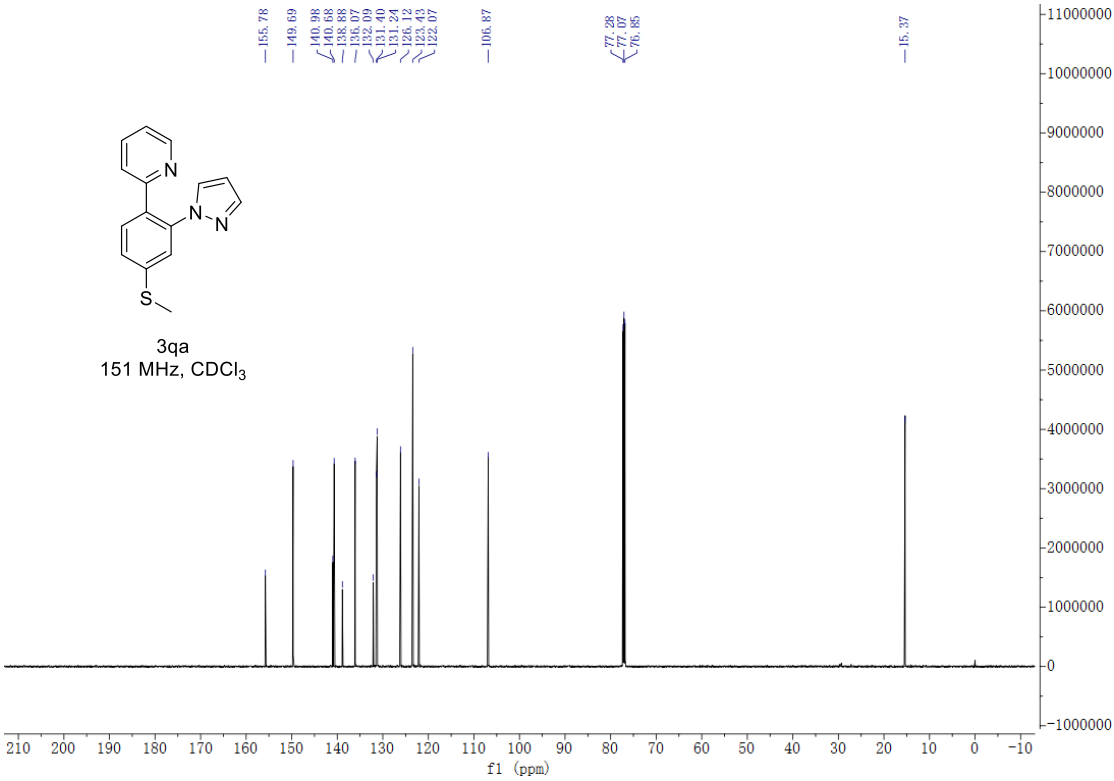
400 MHz, CDCl3
f1 (ppm)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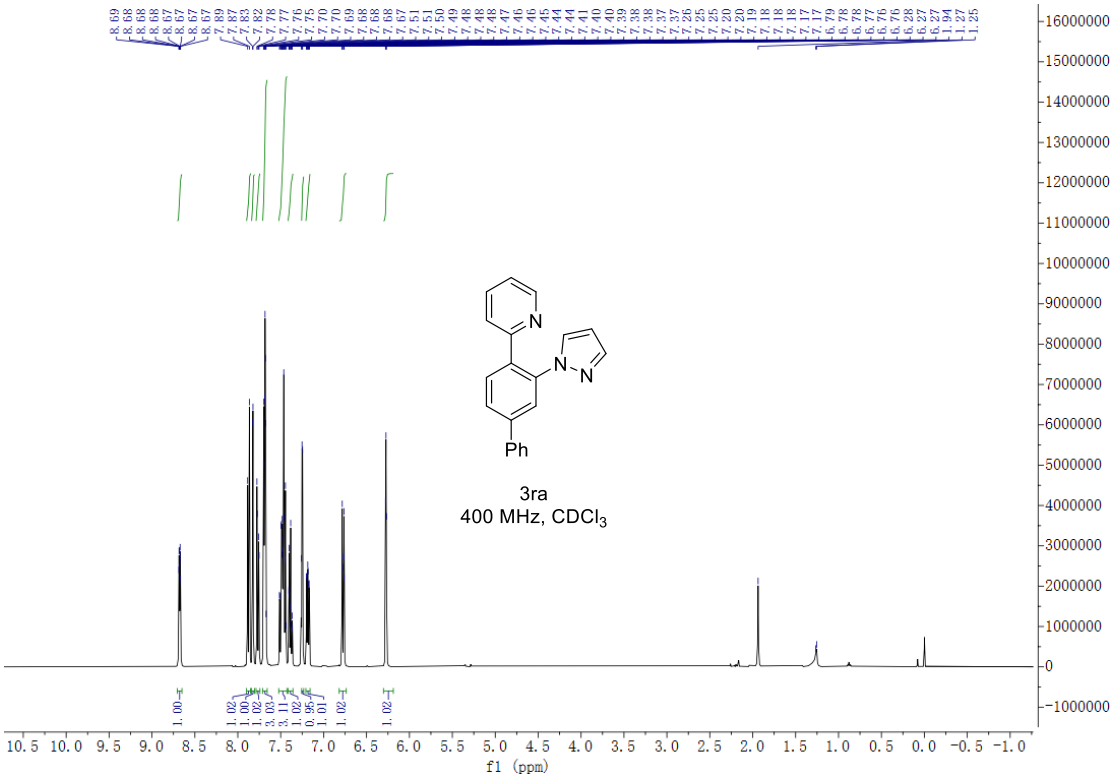
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400 MHz, CDCl3
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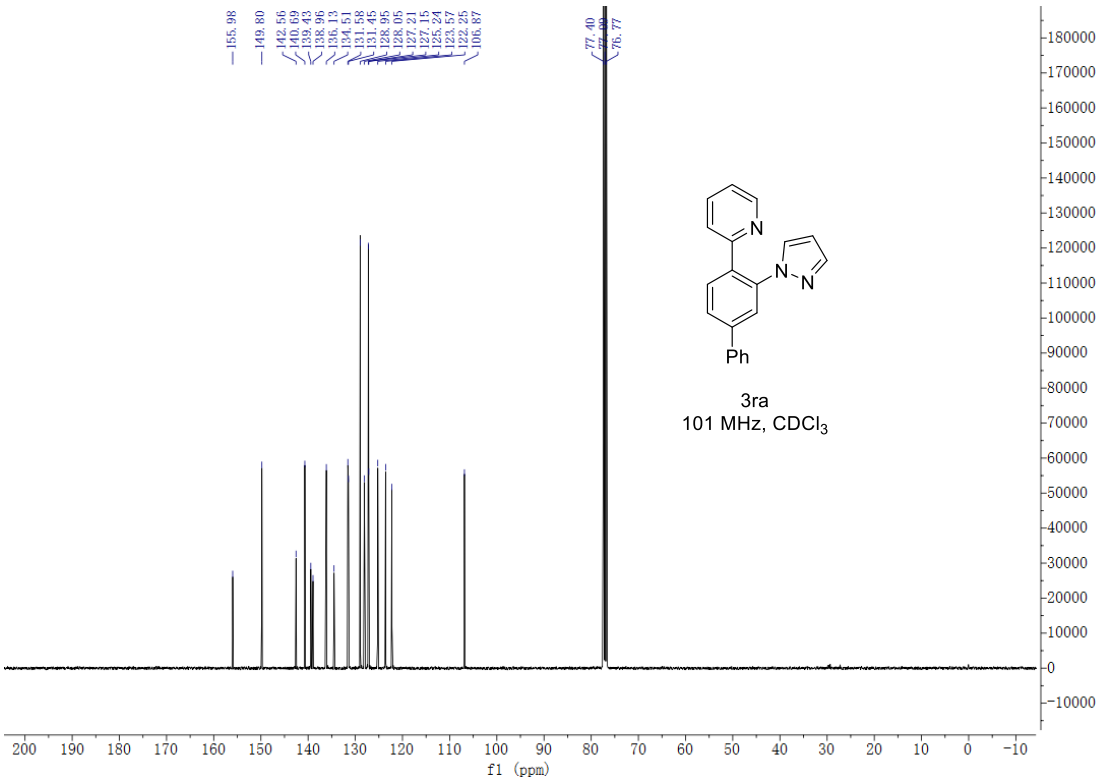
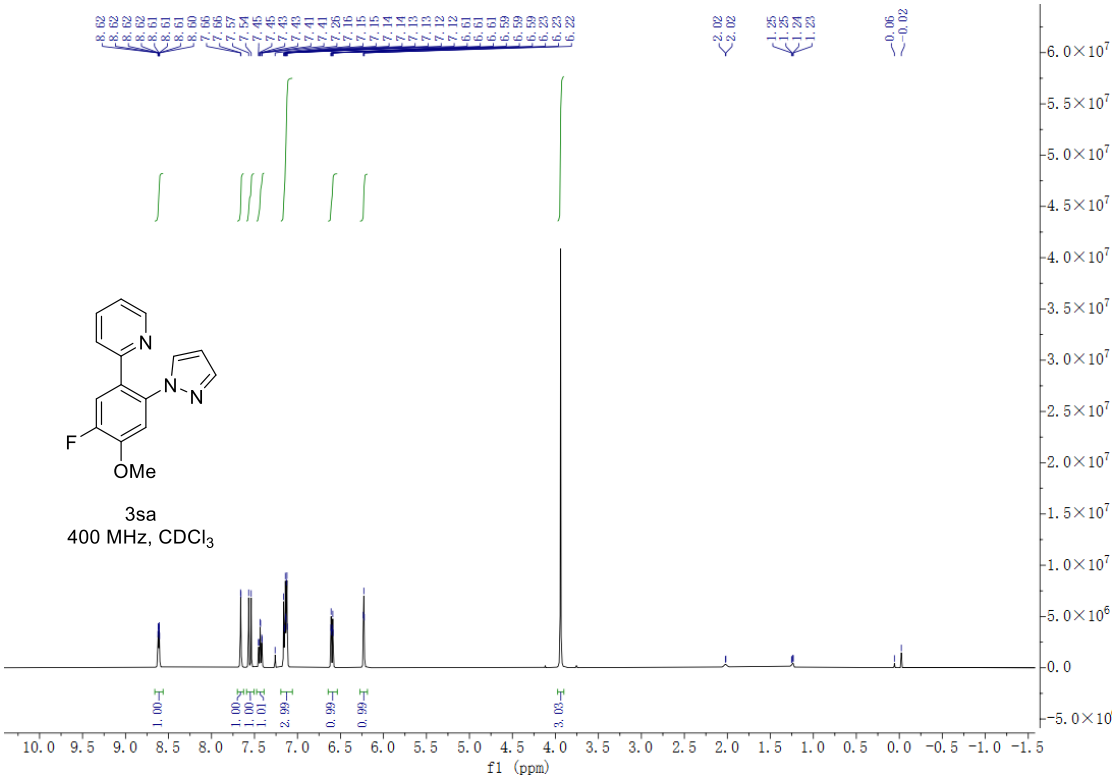

3ra
101 MHz, CDCl3
f1 (ppm)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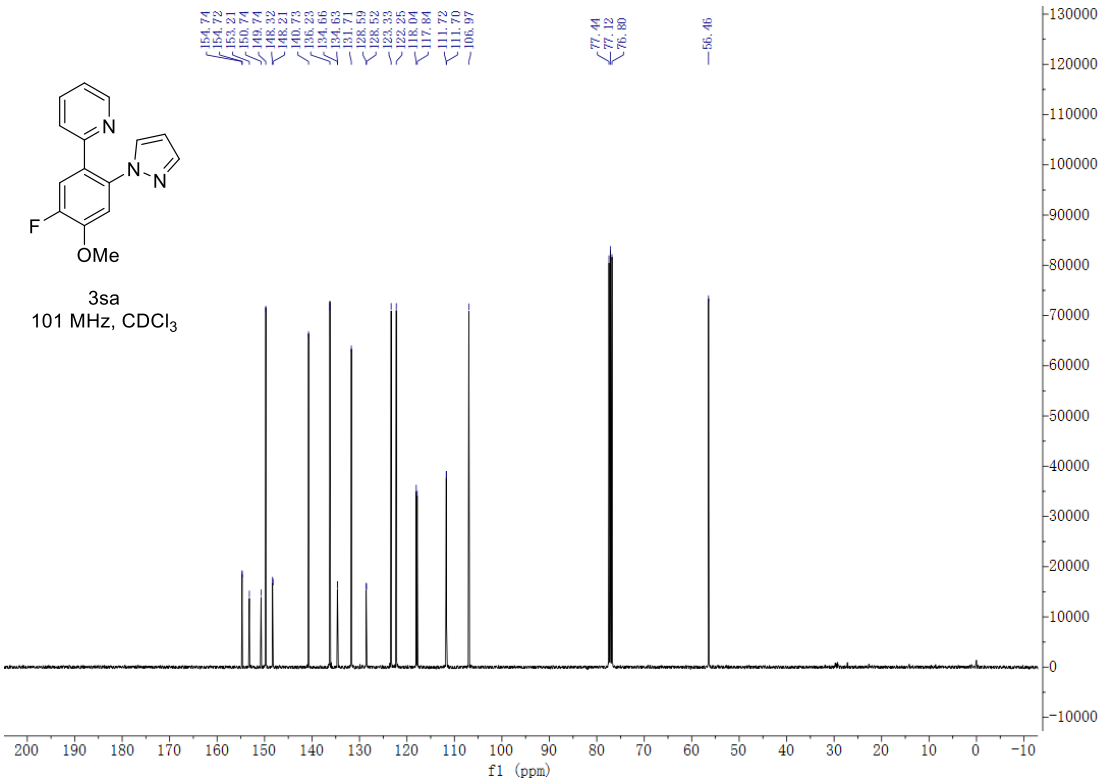
400 MHz, CDCl3
f1 (ppm)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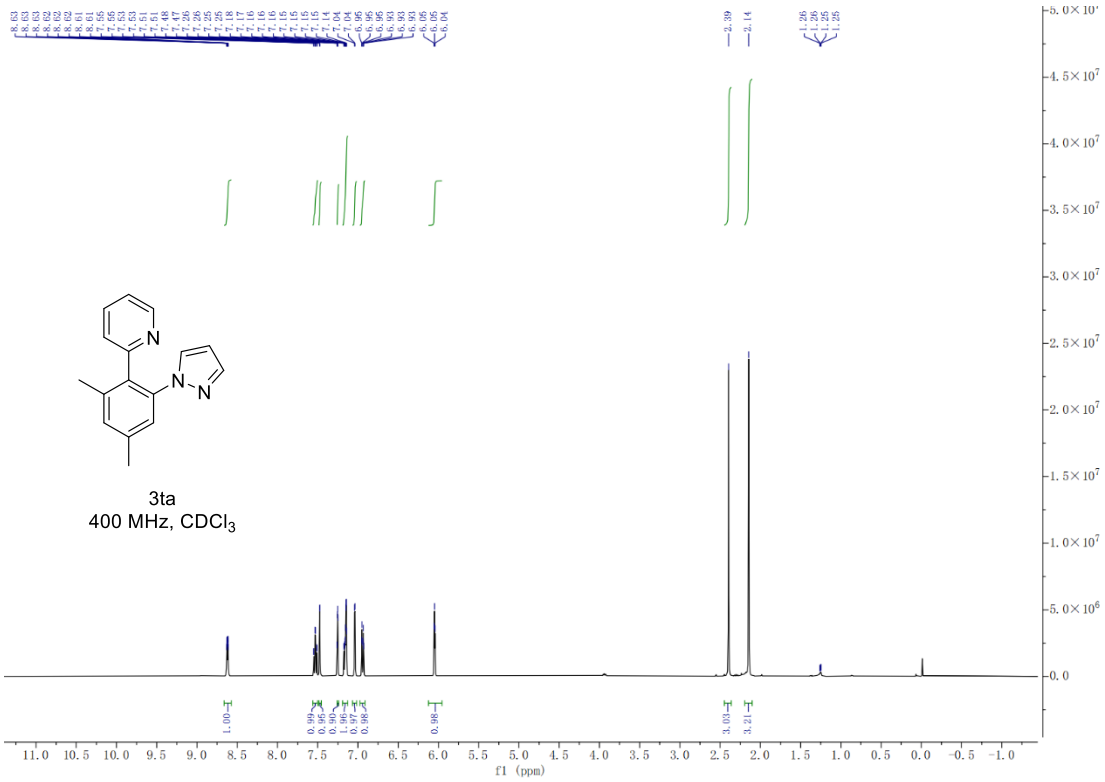
f1 (ppm)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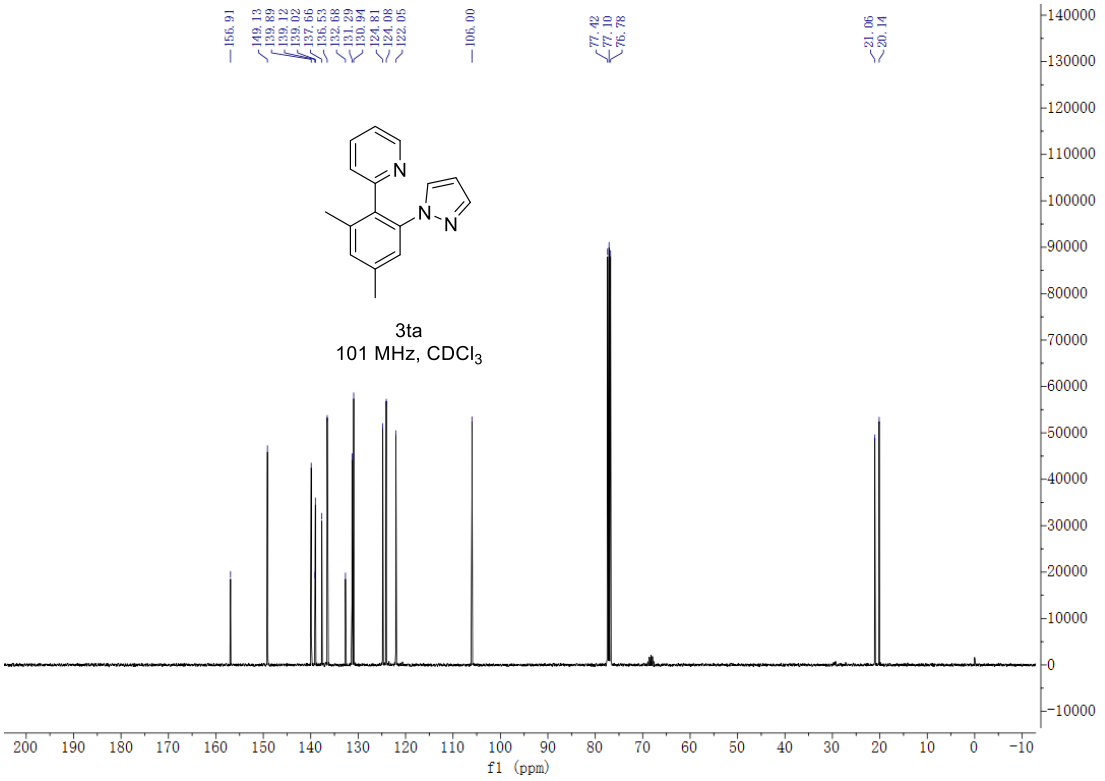
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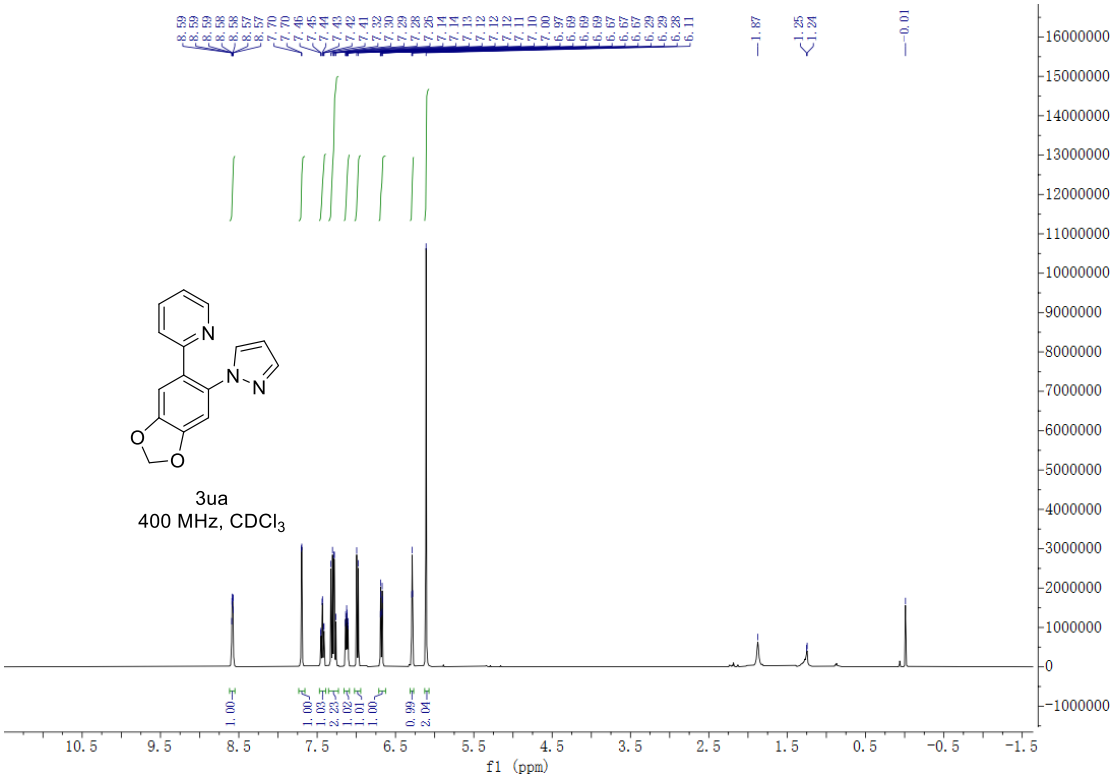
f1 (ppm)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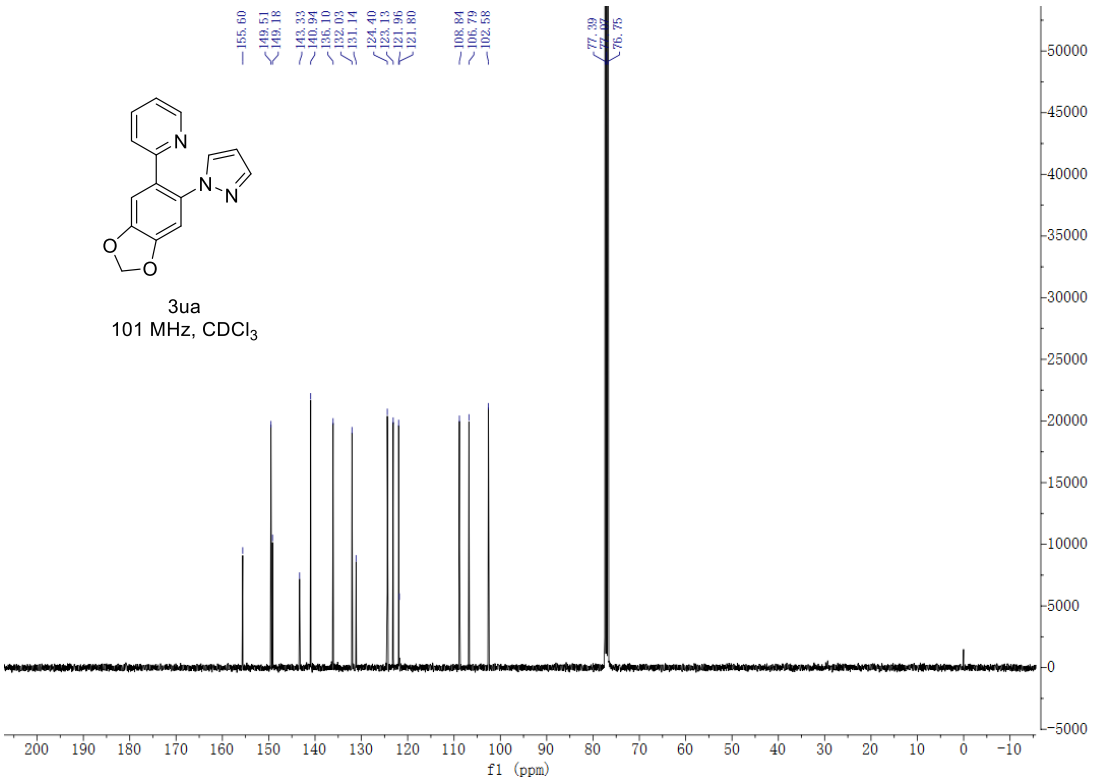
f1 (ppm)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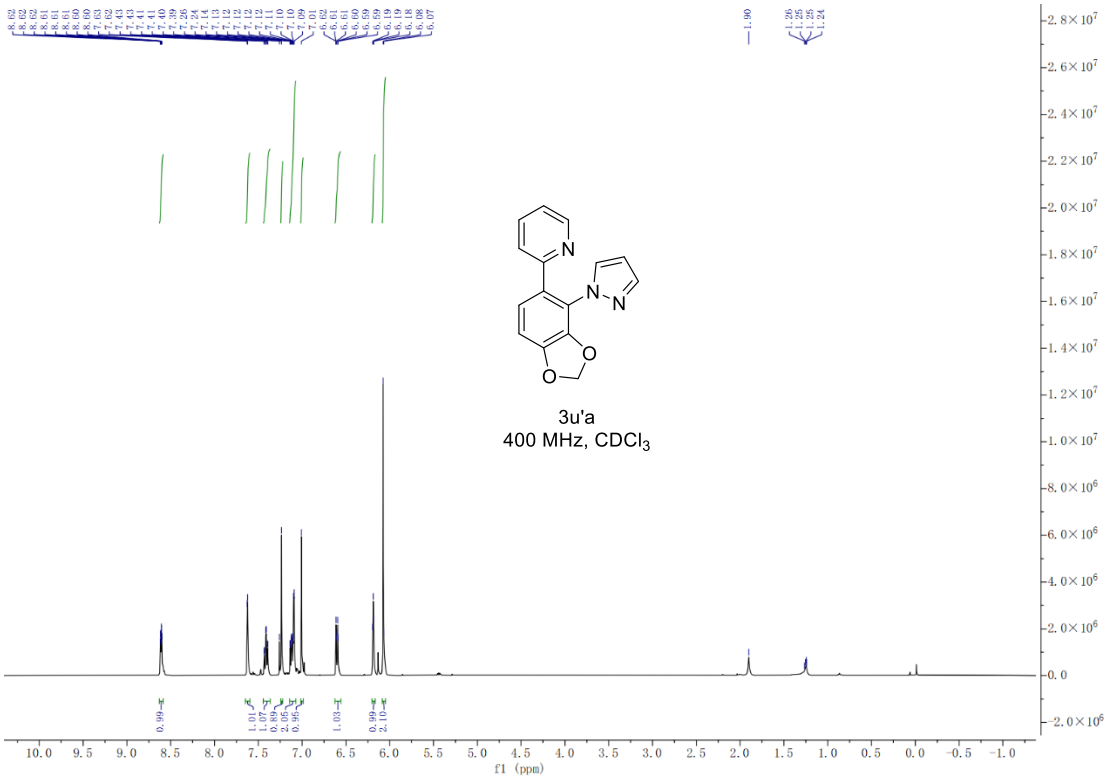
f1 (ppm)


3u'a
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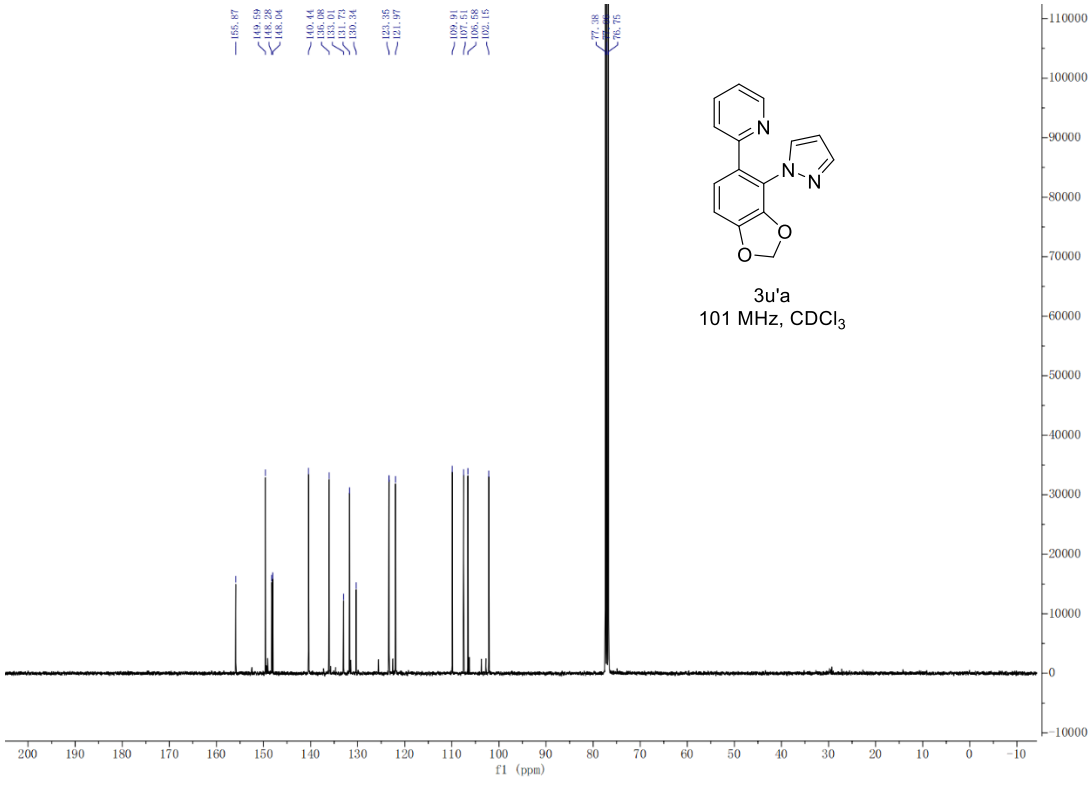
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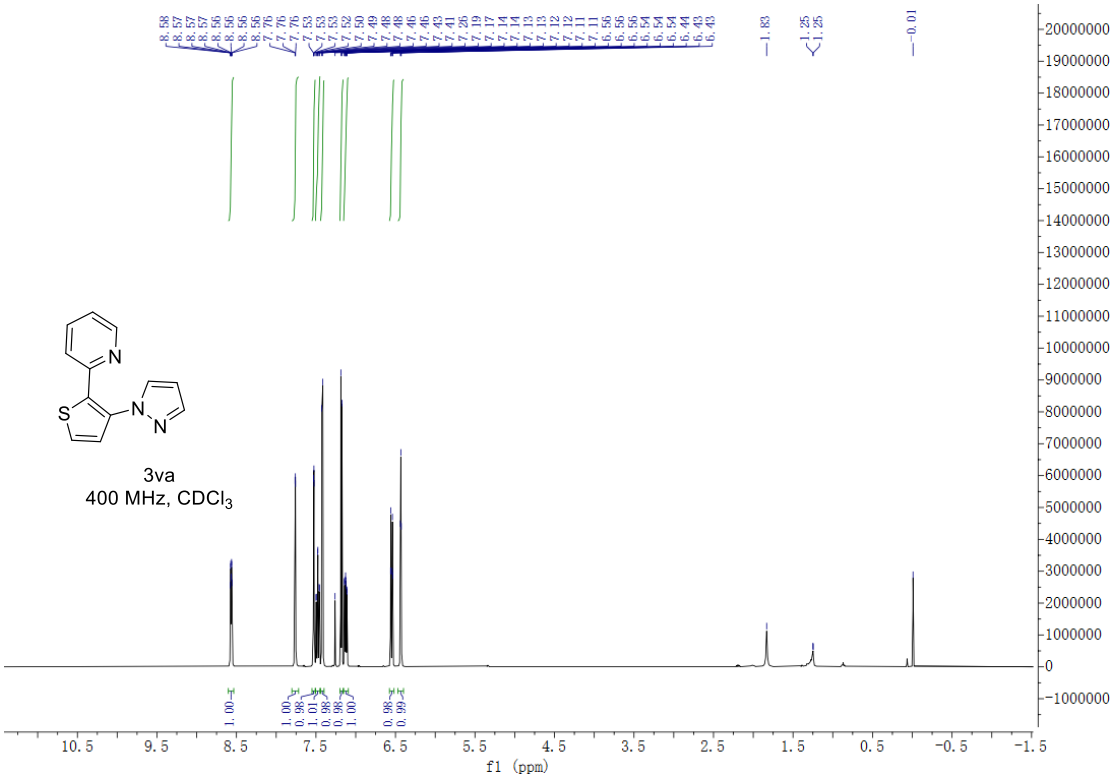
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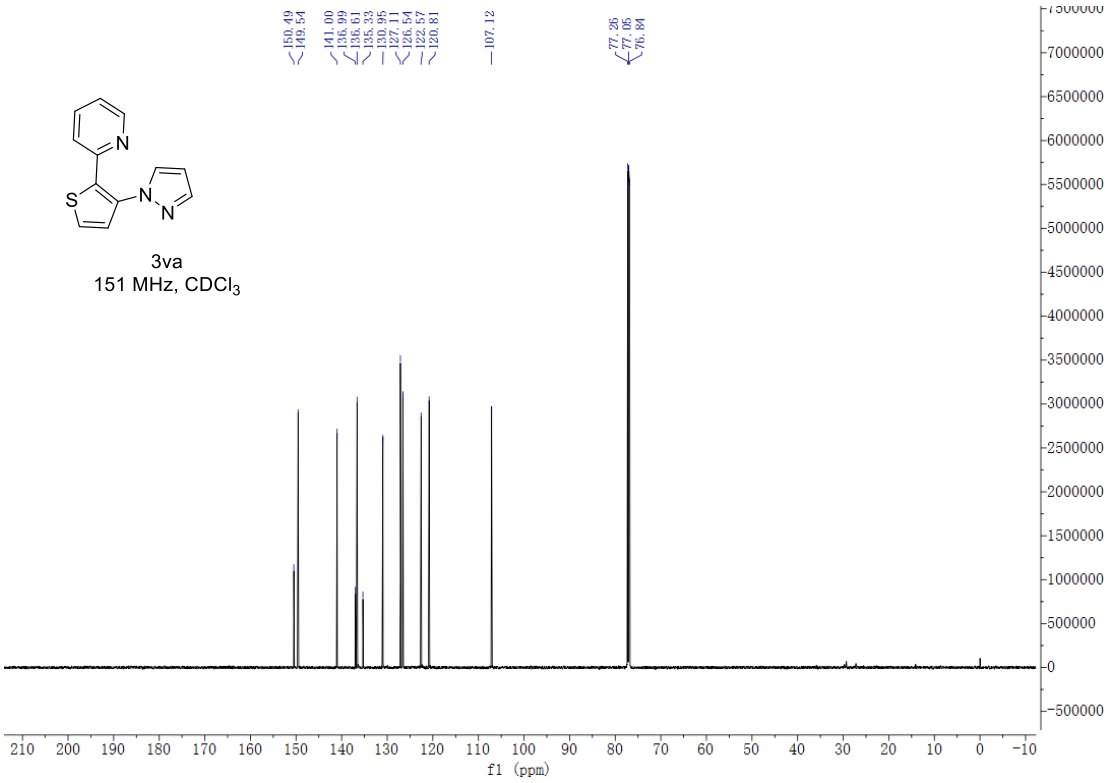
400 MHz, CDCl3
f1 (ppm)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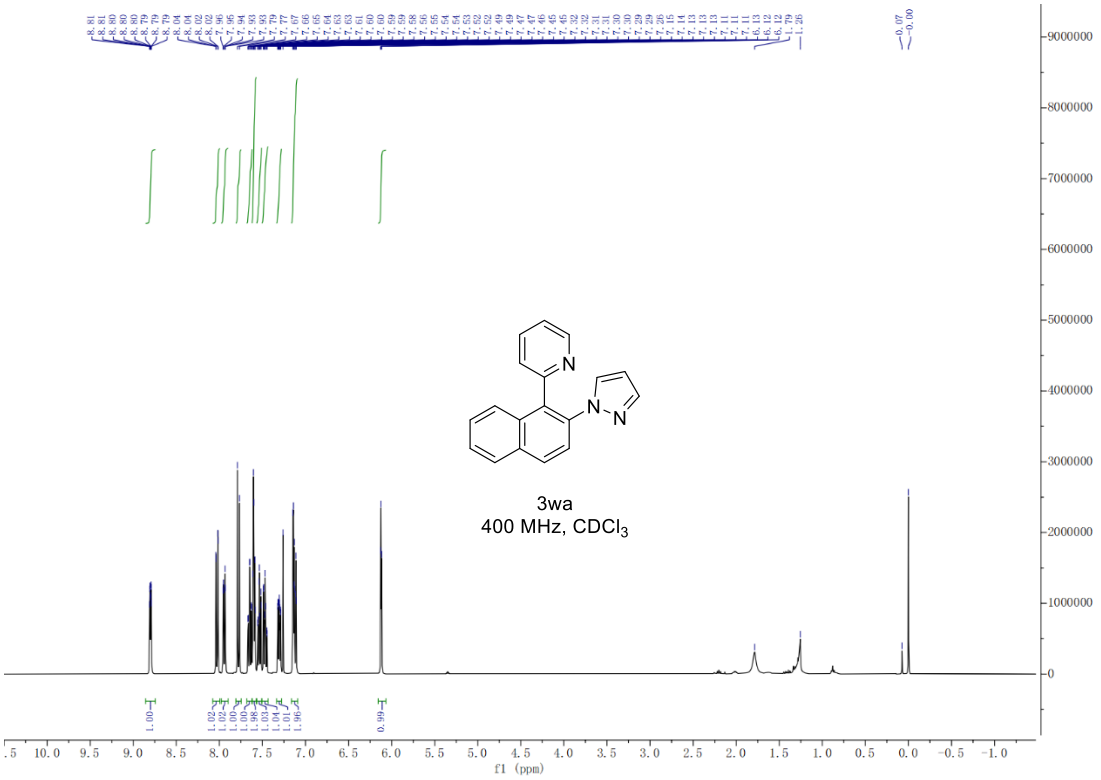
f1 (ppm)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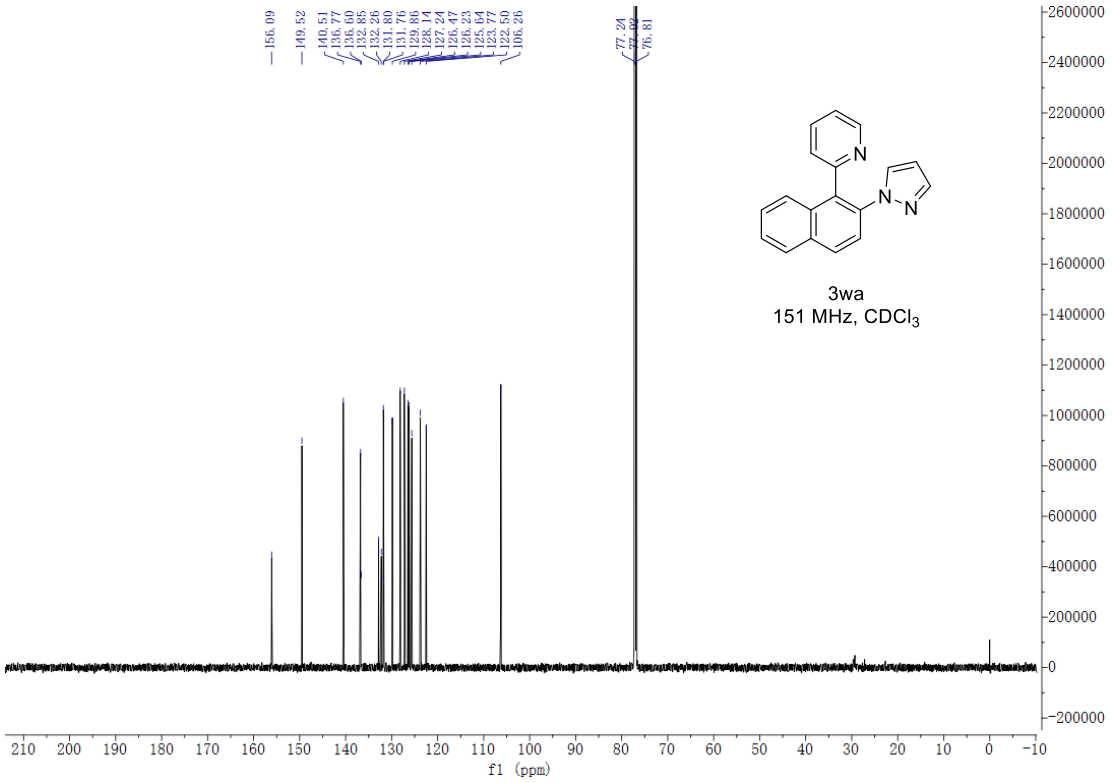
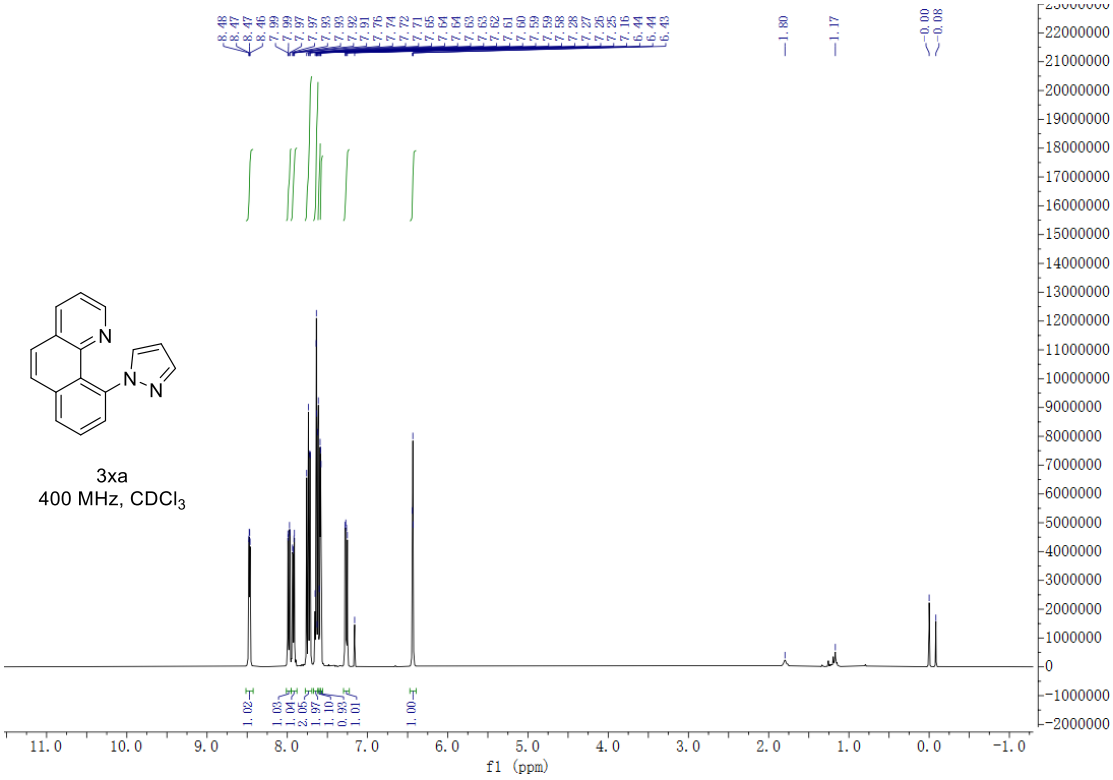
400 MHz, CDCl3
f1 (ppm)


3wa
151 MHz, CDCl3
f1 (ppm)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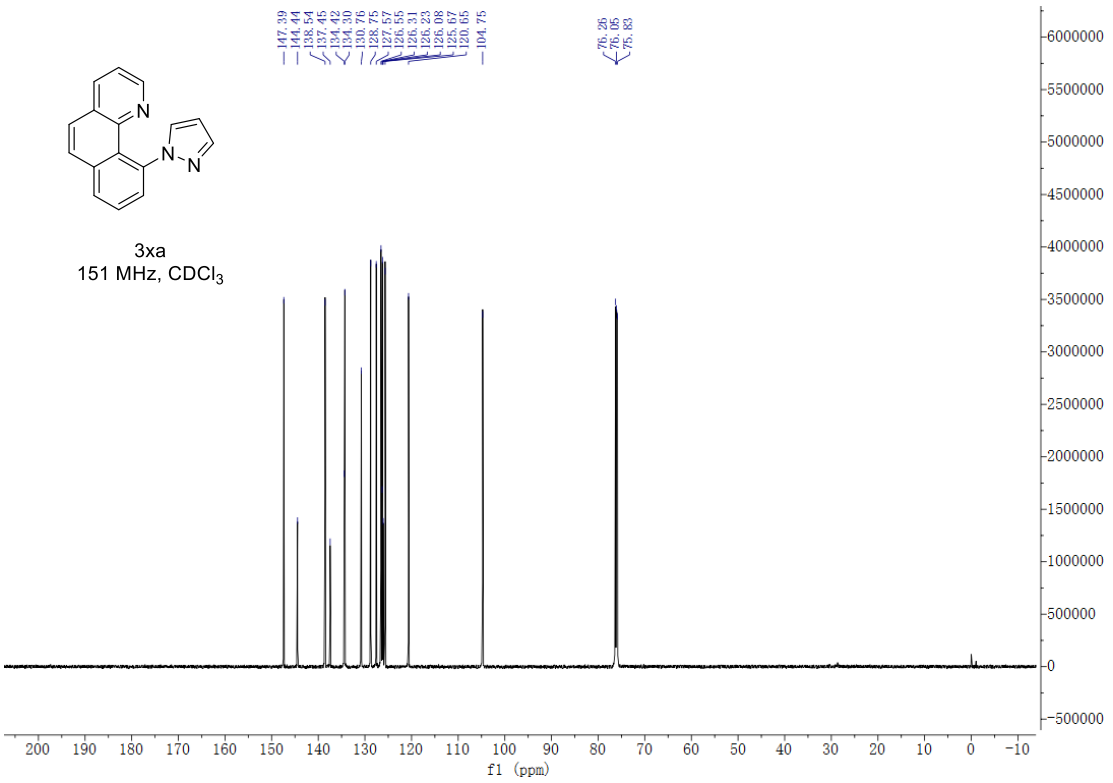
f1 (ppm)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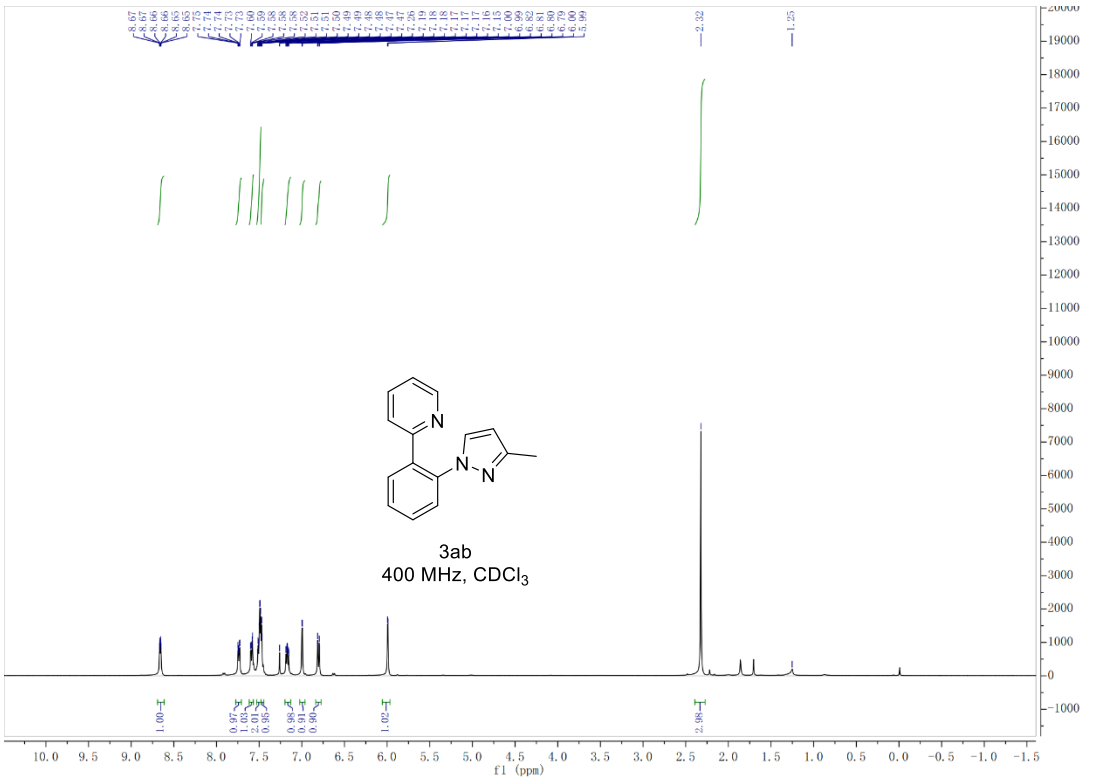
f1 (ppm)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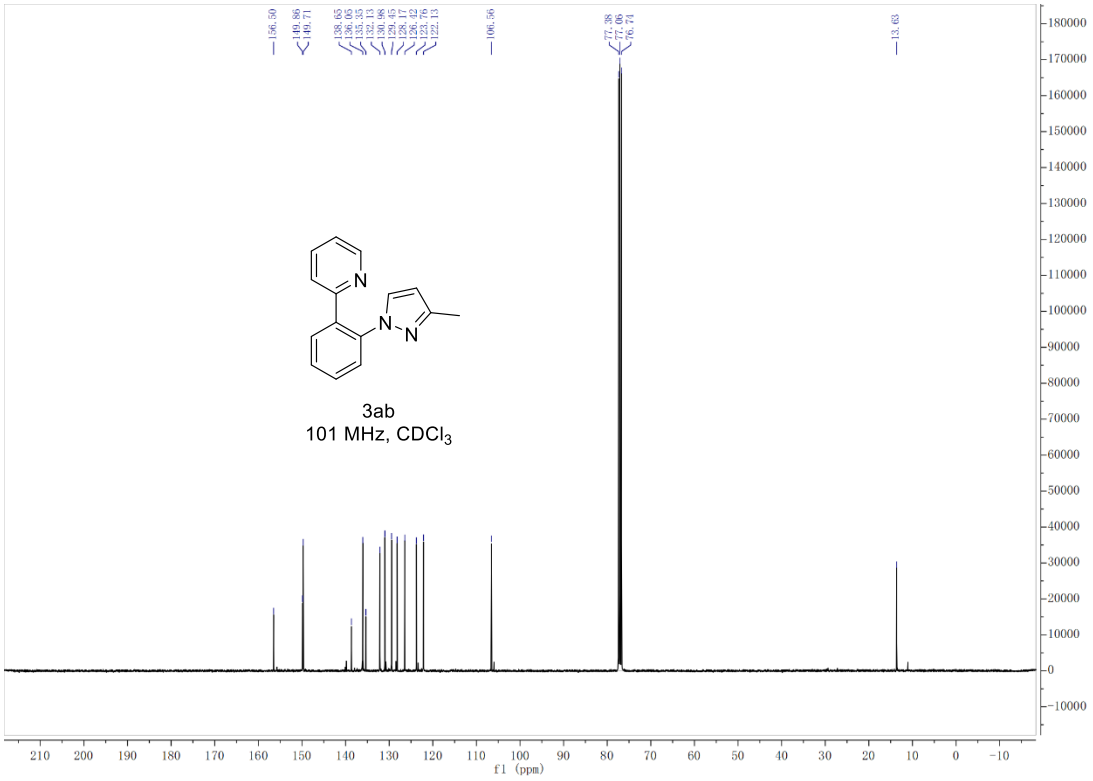
f1 (ppm)


3ab
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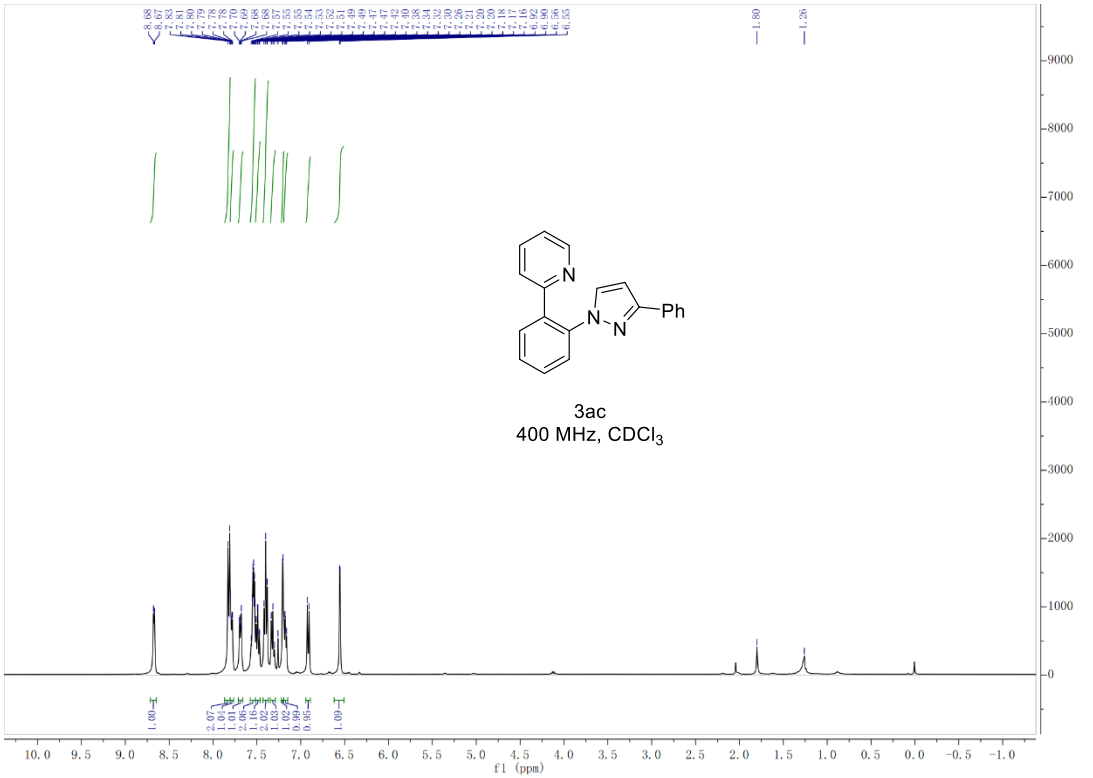
f1 (ppm)


N
N
N
Ph
3ac
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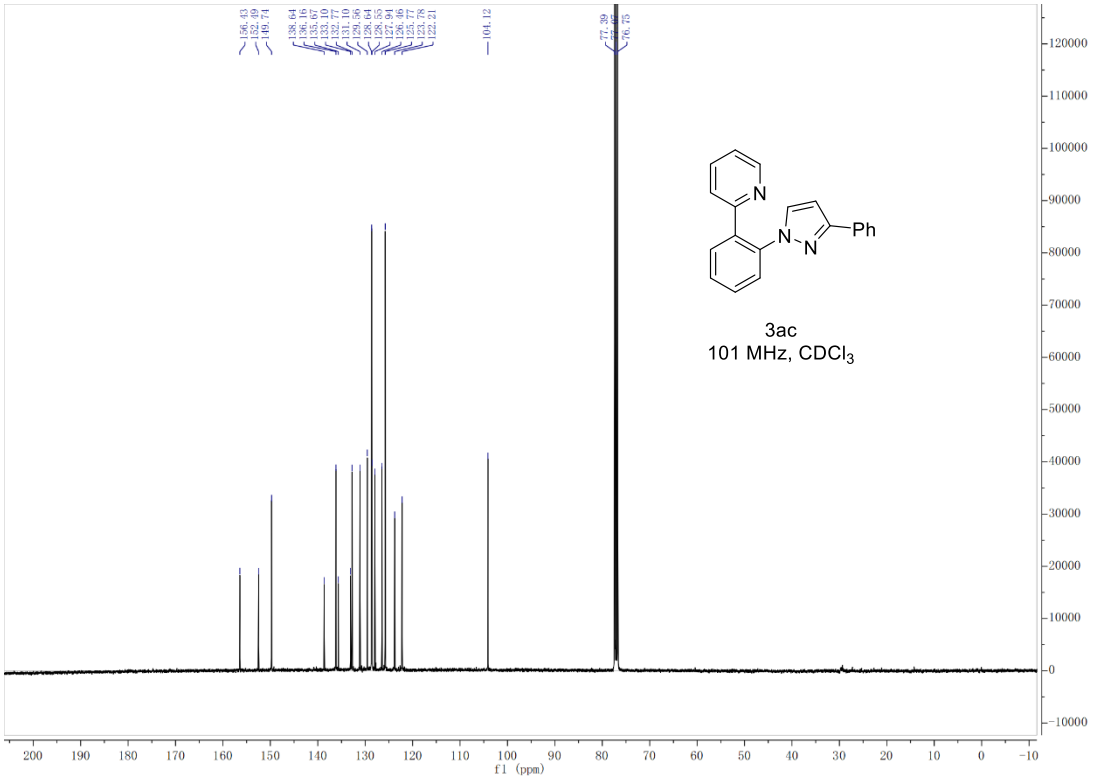
f1 (ppm)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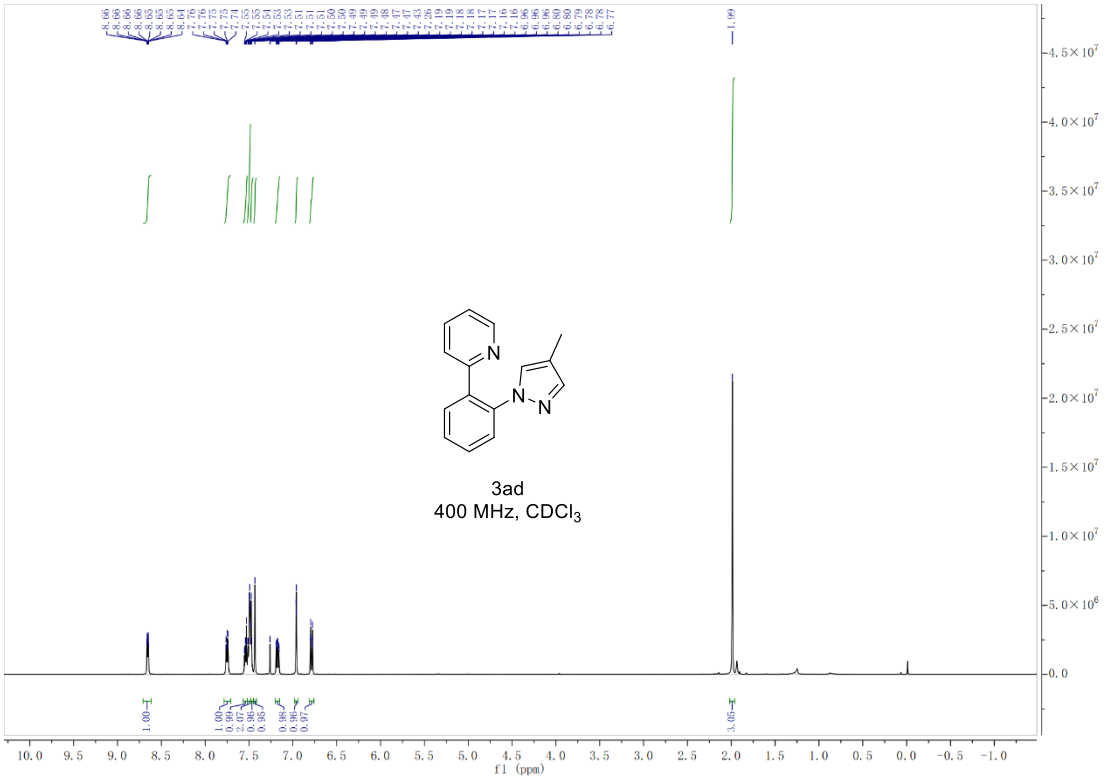
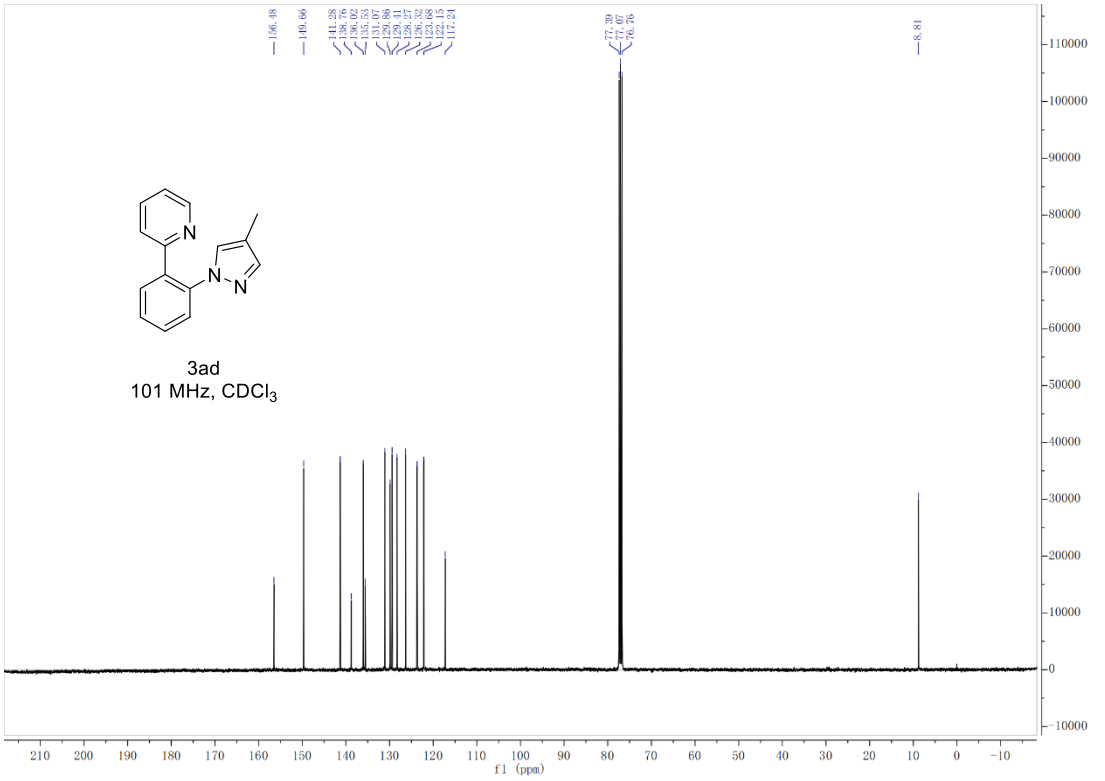
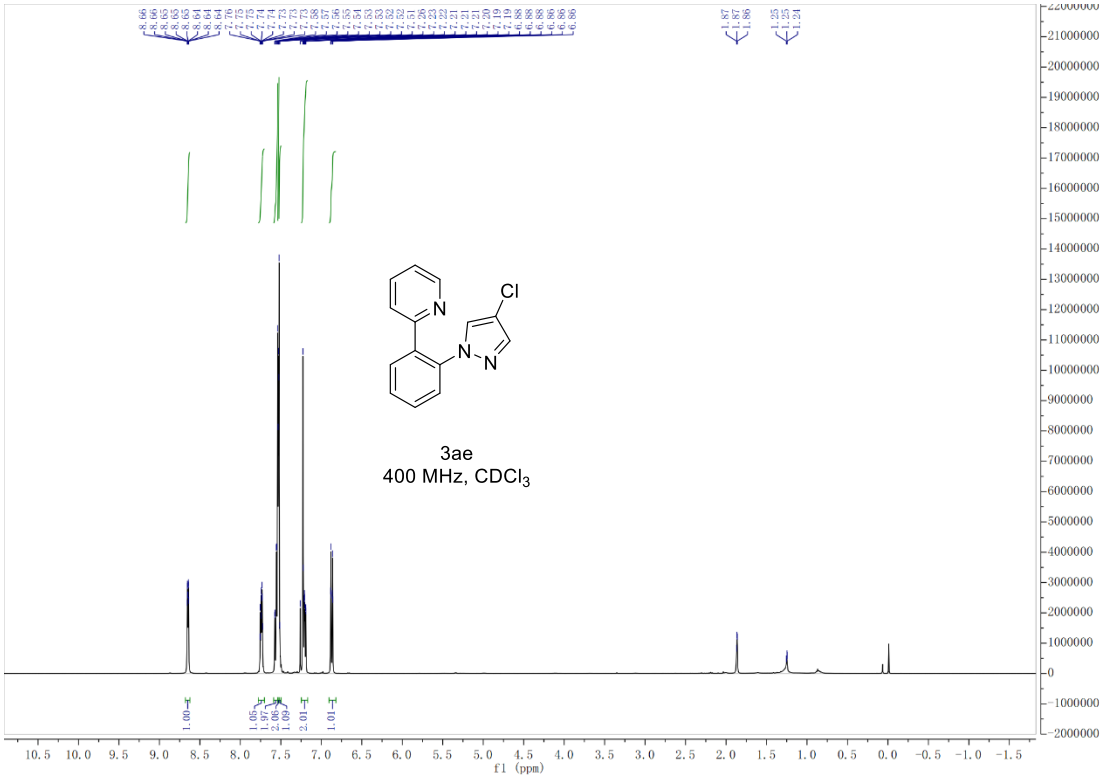
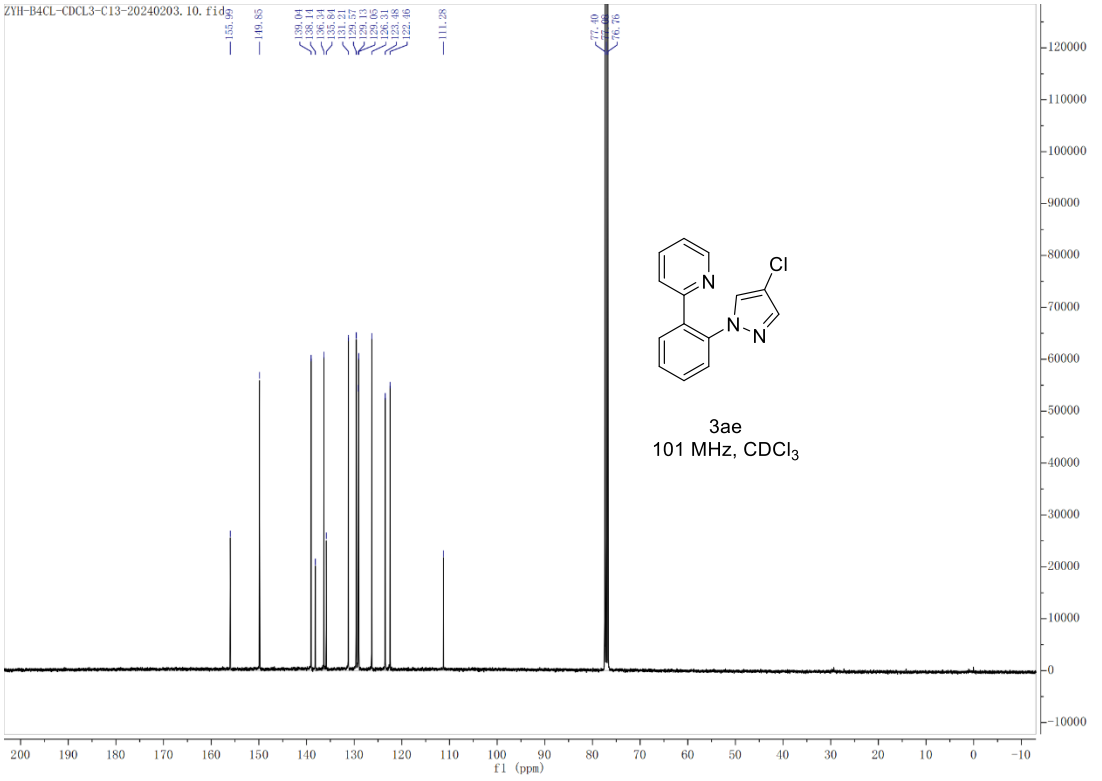
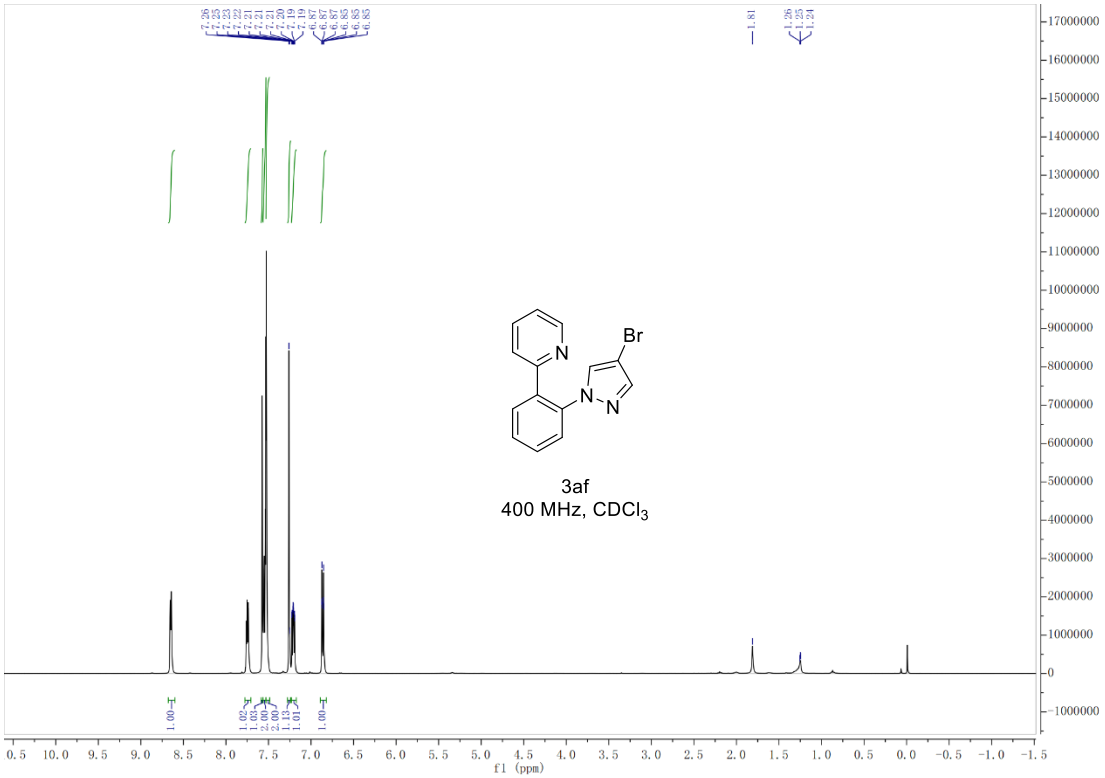
f1 (ppm)

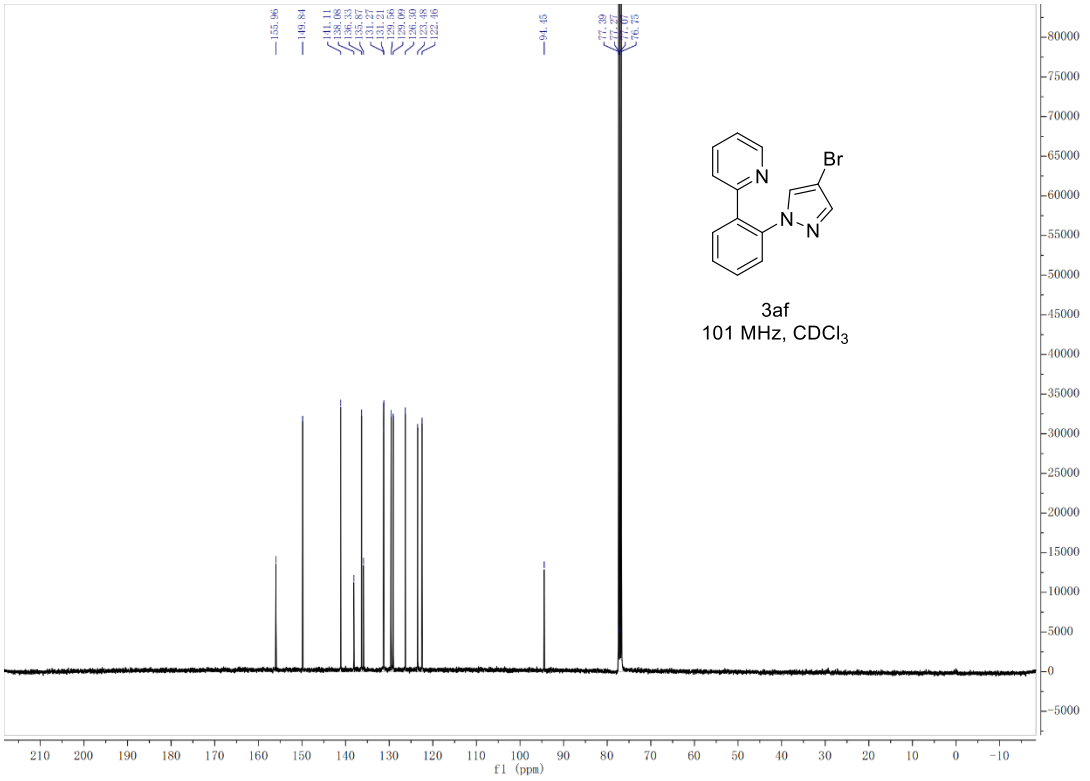

3ad
400 MHz, CDCl3


3ad
101 MHz, CDCl3


Cl
N
N
N
3ae
400 MHz, CDCl3
f1 (ppm)


ZYH-B4CL-CDCL3-C13-20240203.10.fid
Cl
N
N
N
3ae
101 MHz, CDCl3
f1 (ppm)


Br
N
N
N
3af
400 MHz, CDCl3
f1 (ppm)


Br
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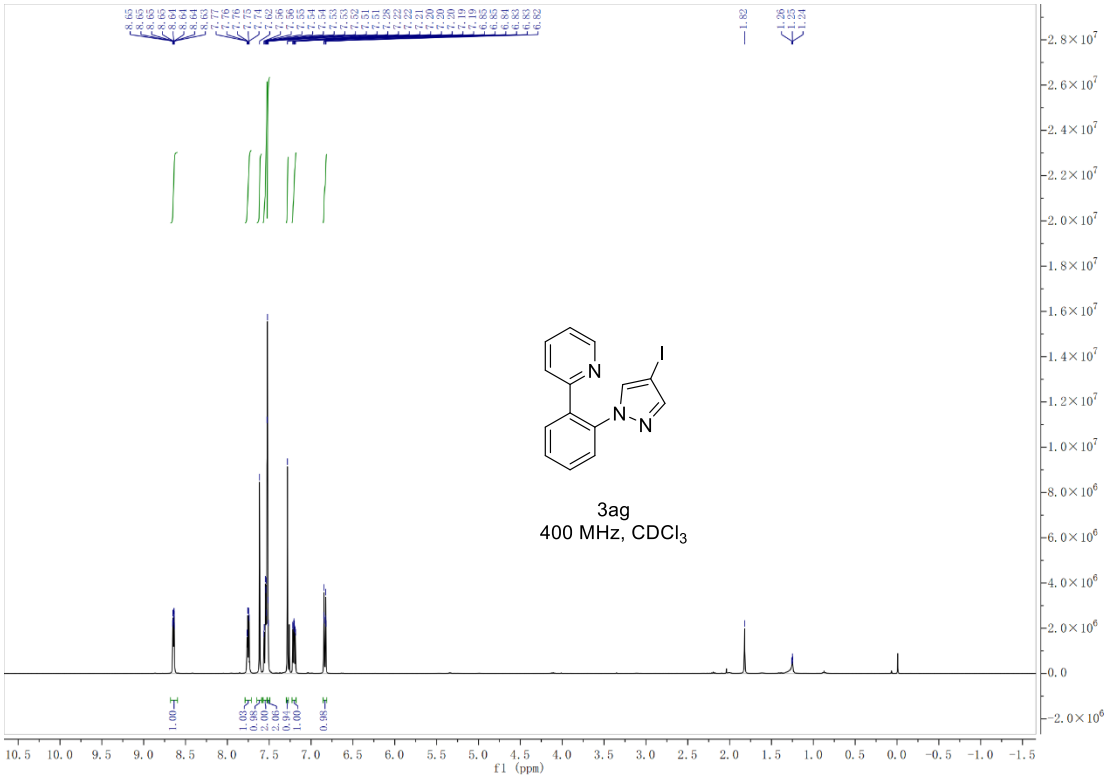
101 MHz, CDCl3
f1 (ppm)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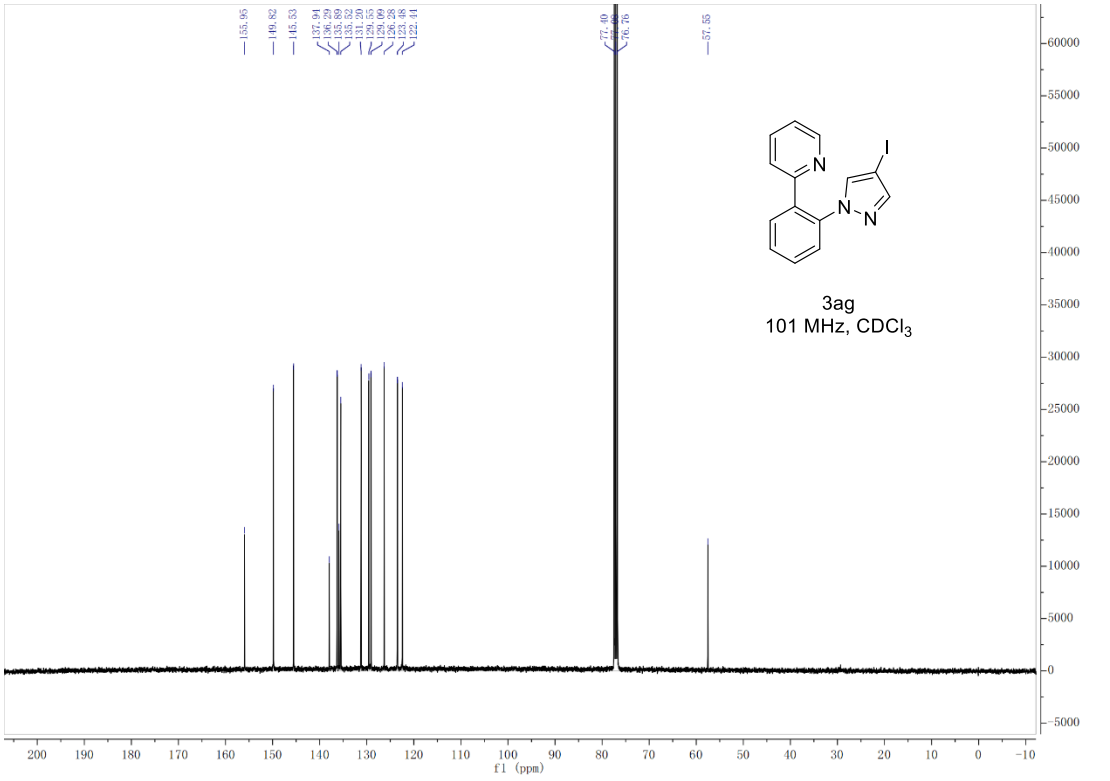
f1 (ppm)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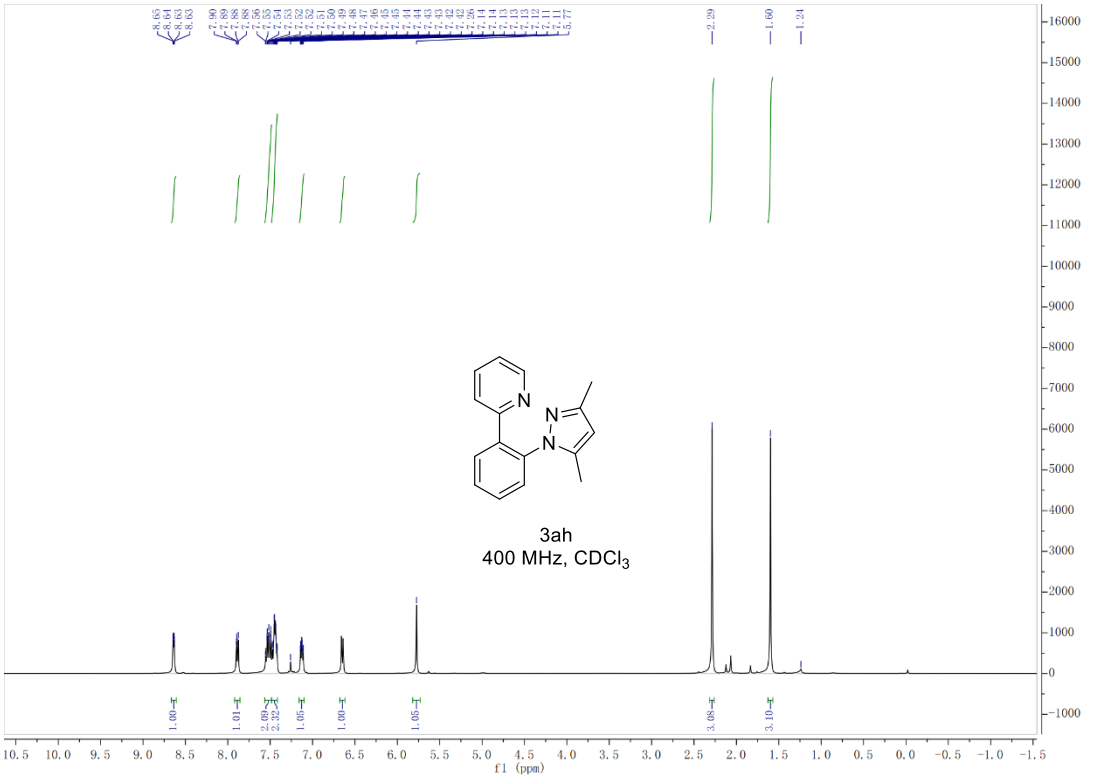
101 MHz, CDCl3
f1 (ppm)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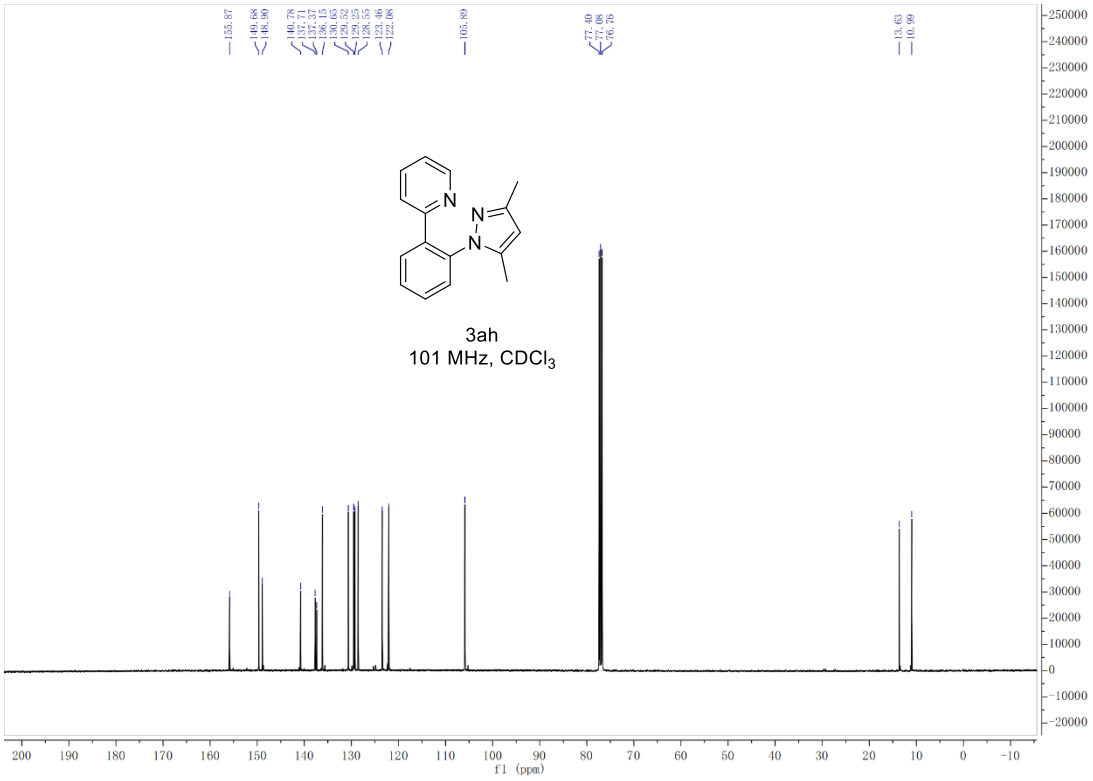
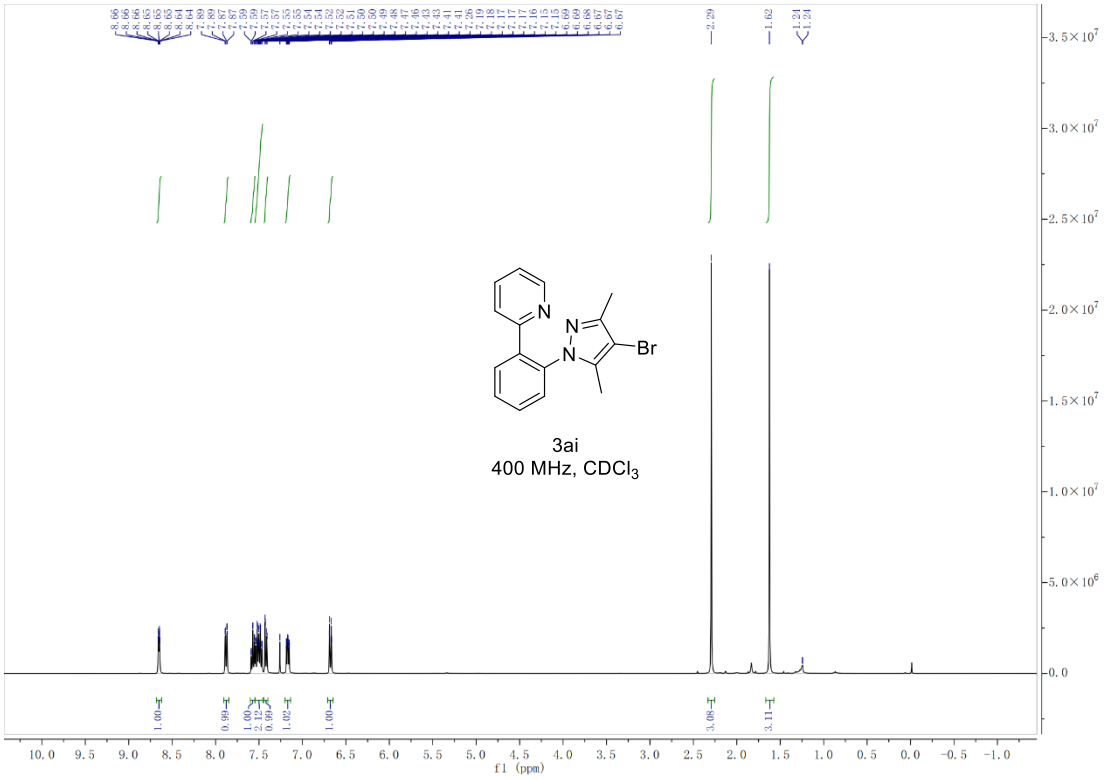
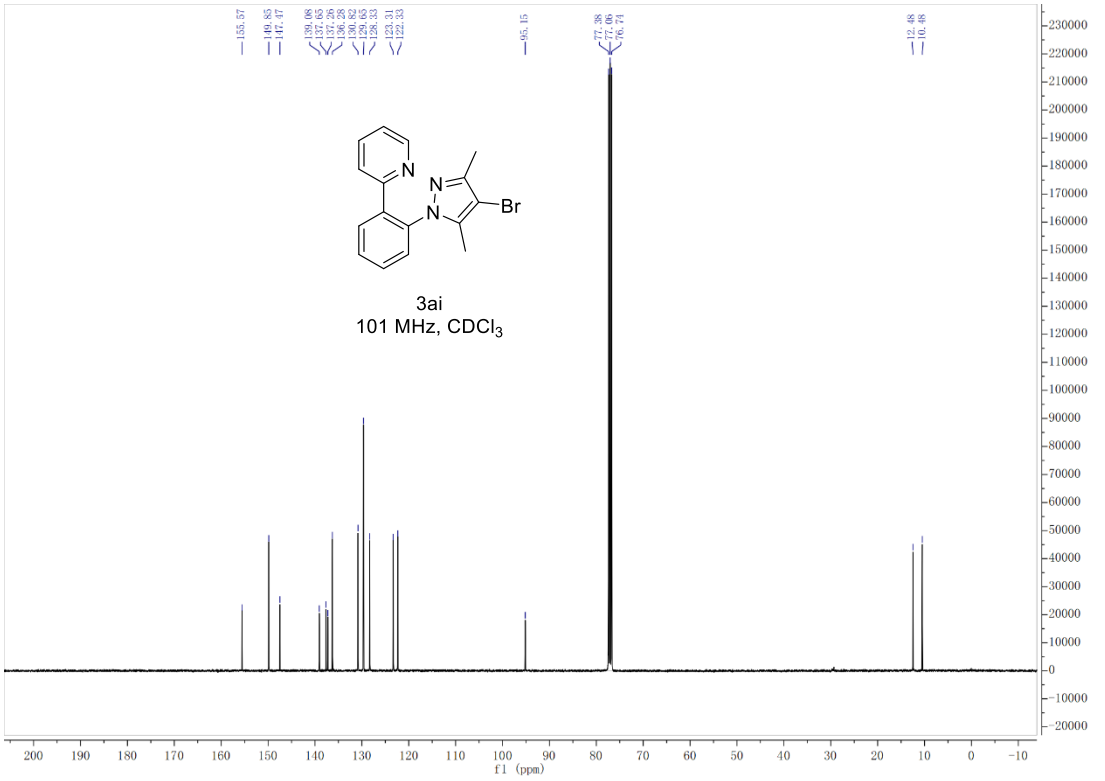
f1 (ppm)


3ah
101 MHz, CDCl3
f1 (ppm)


3ai
400 MHz, CDCl3
f1 (ppm)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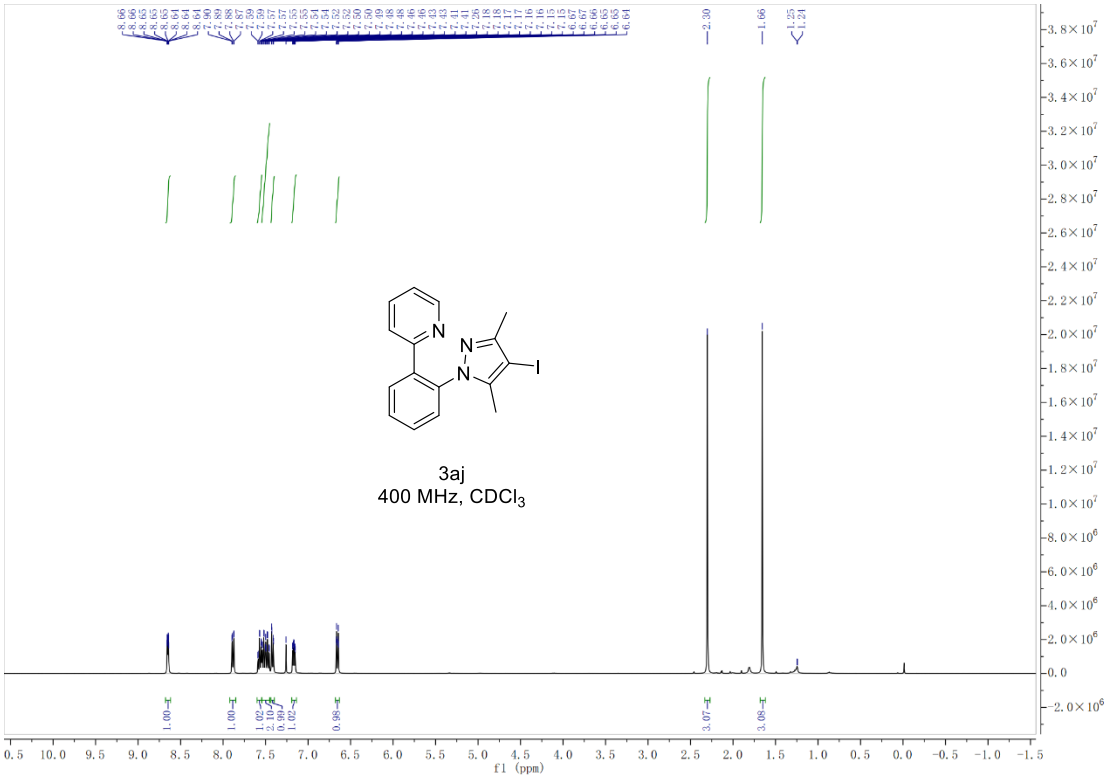
f1 (ppm)


3aj
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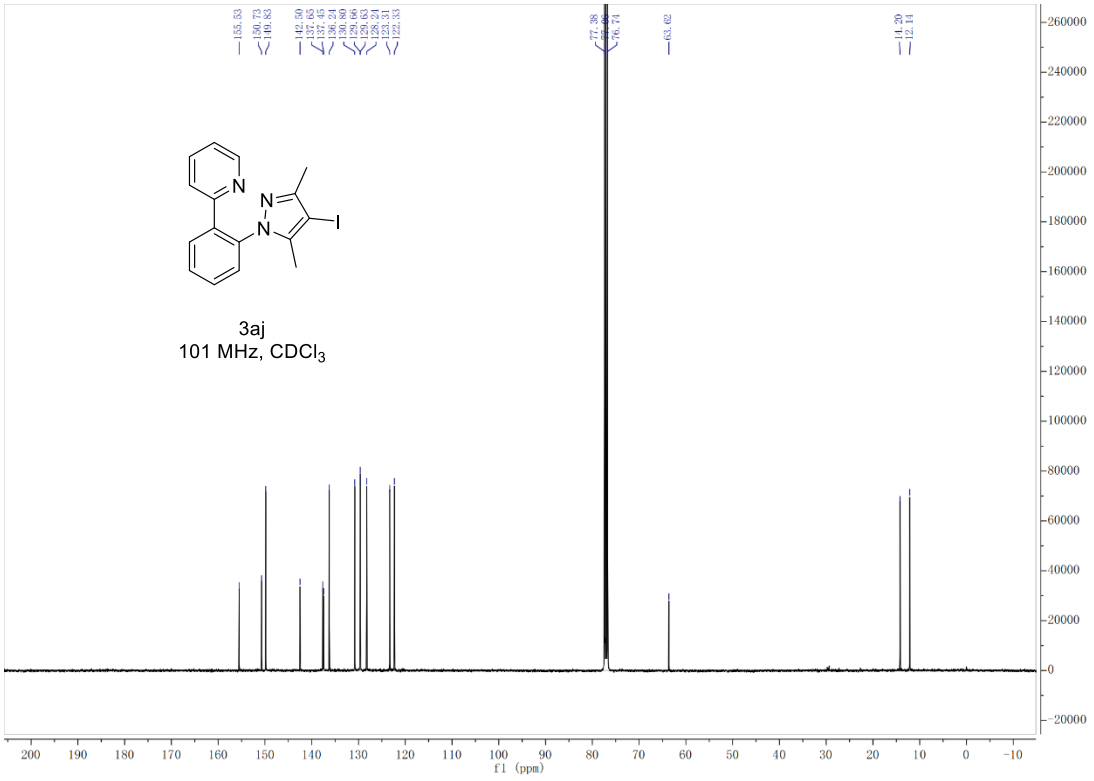
f1 (ppm)


3aj
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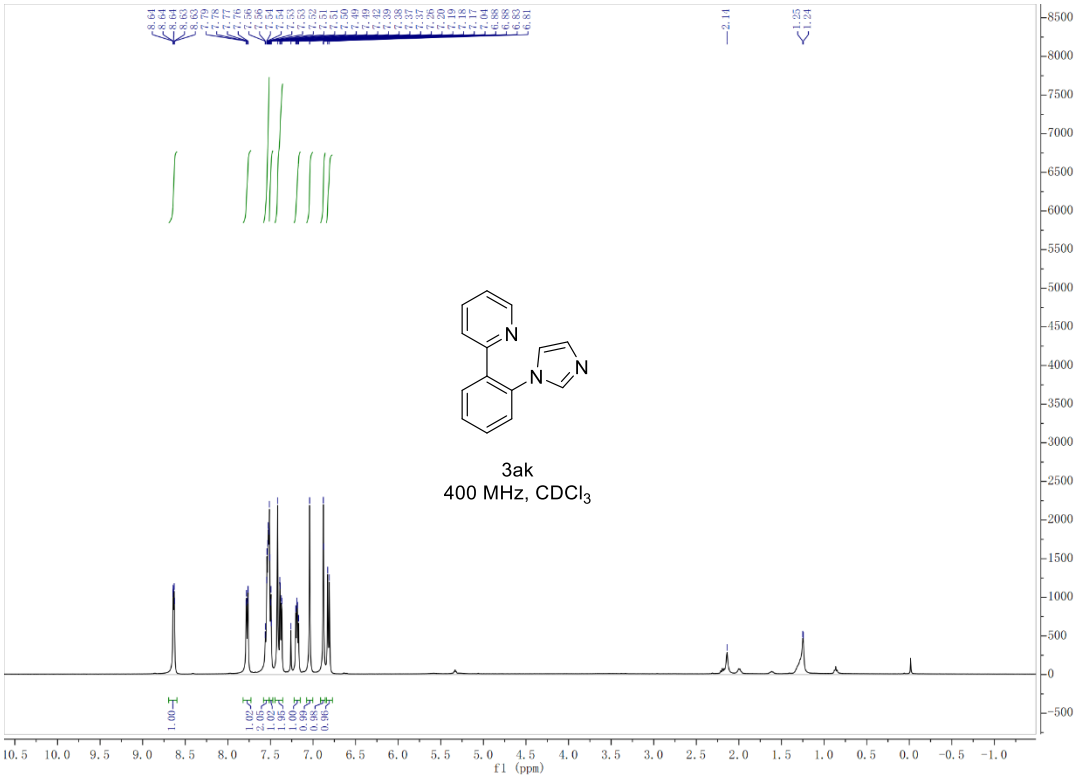
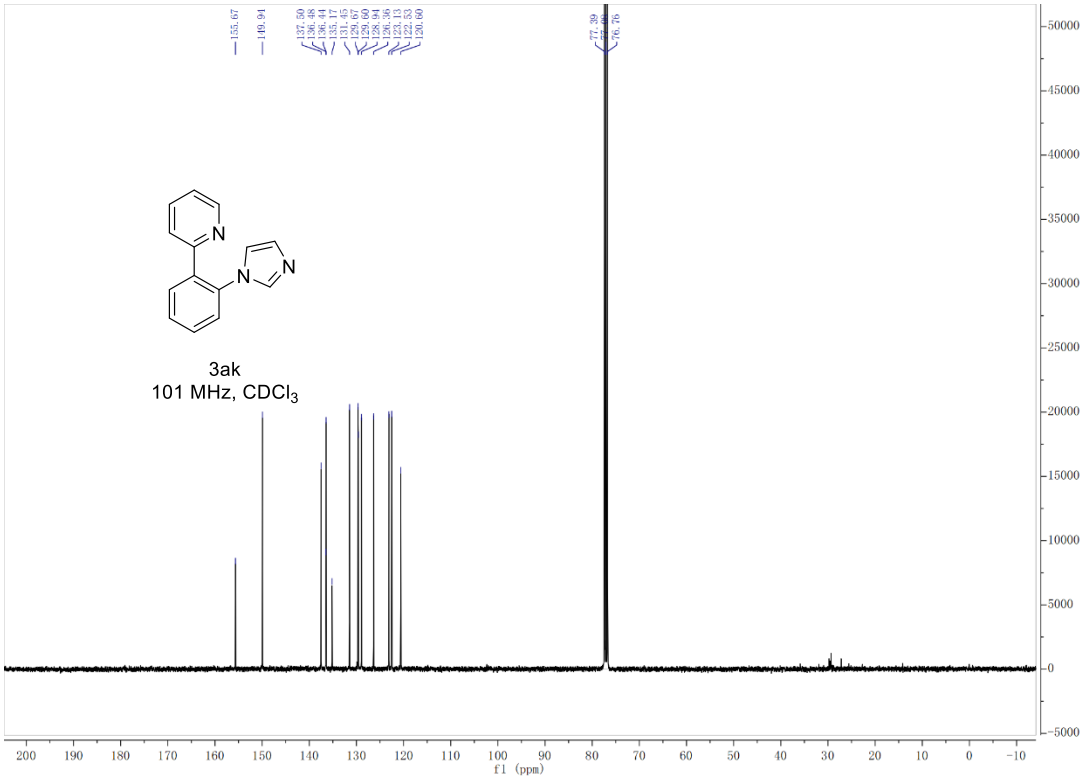
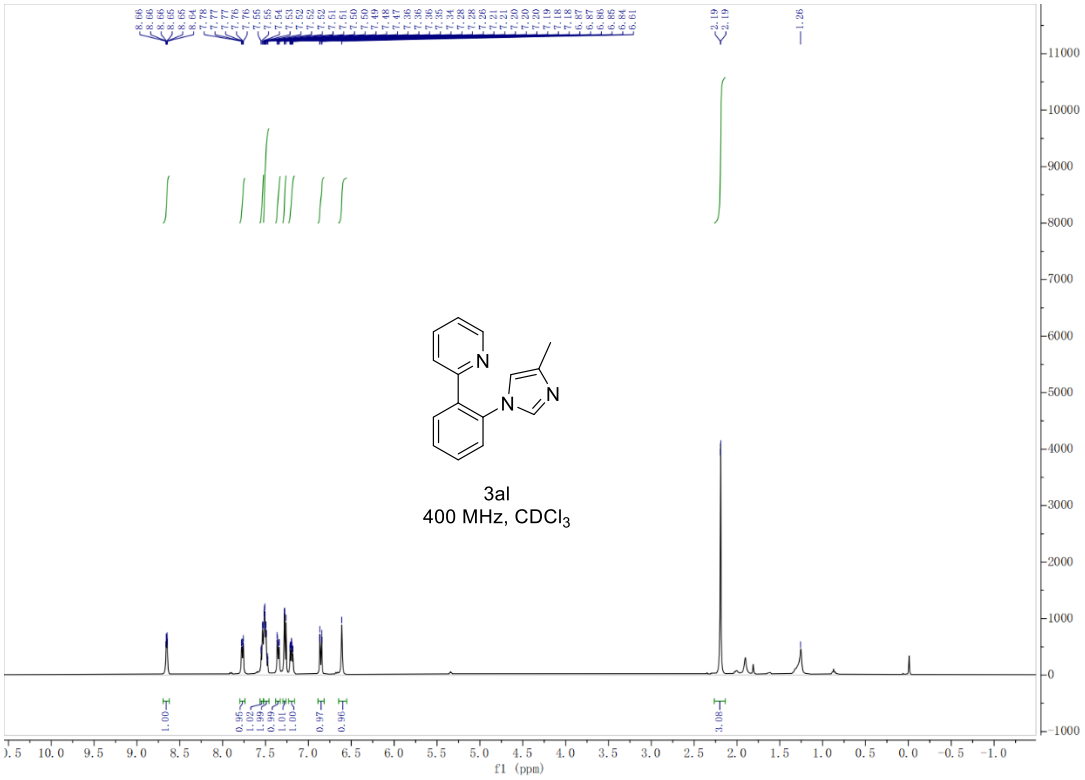
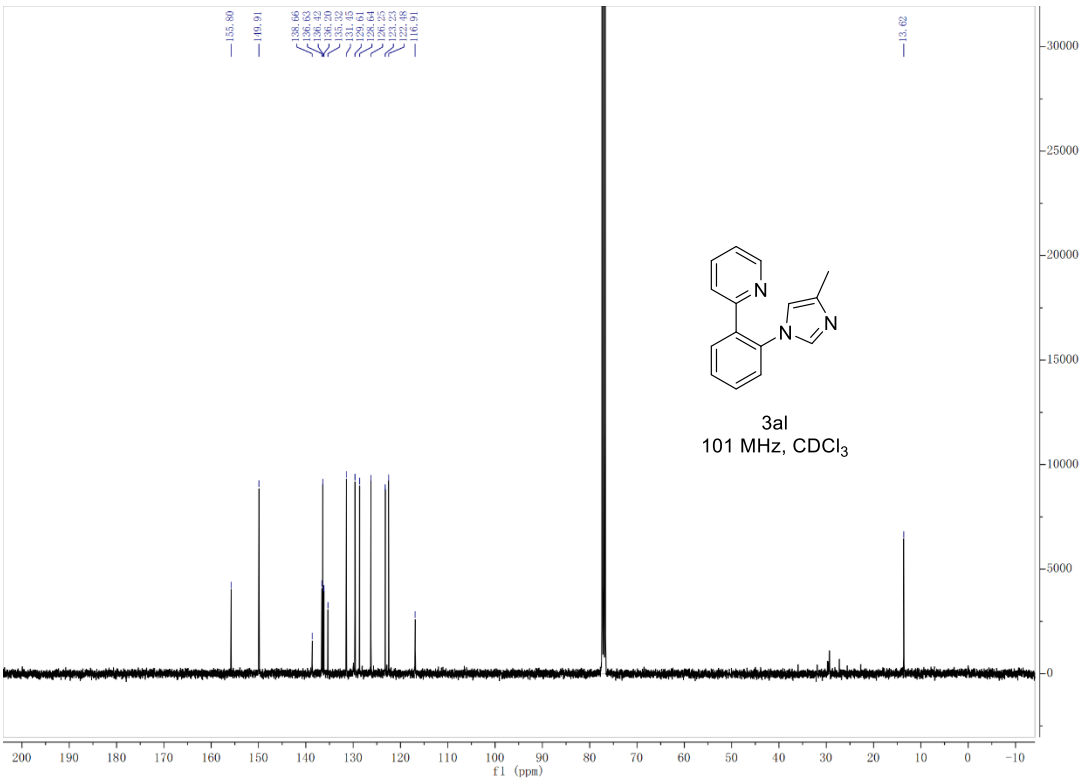
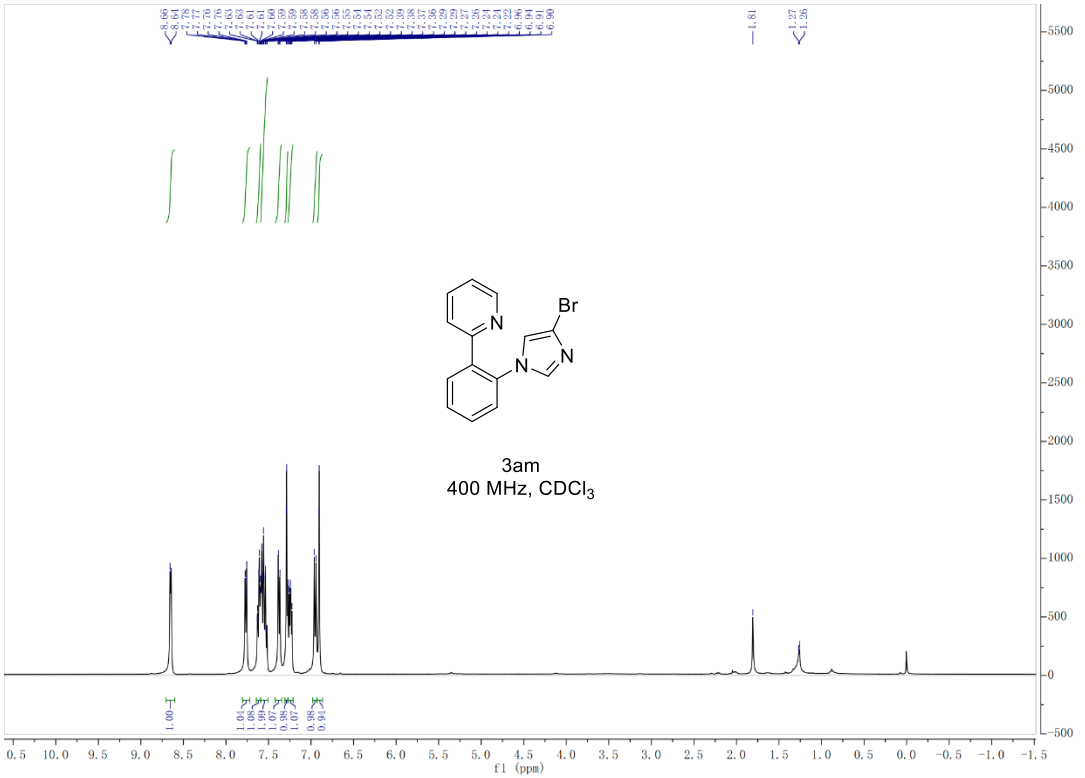
f1 (ppm)

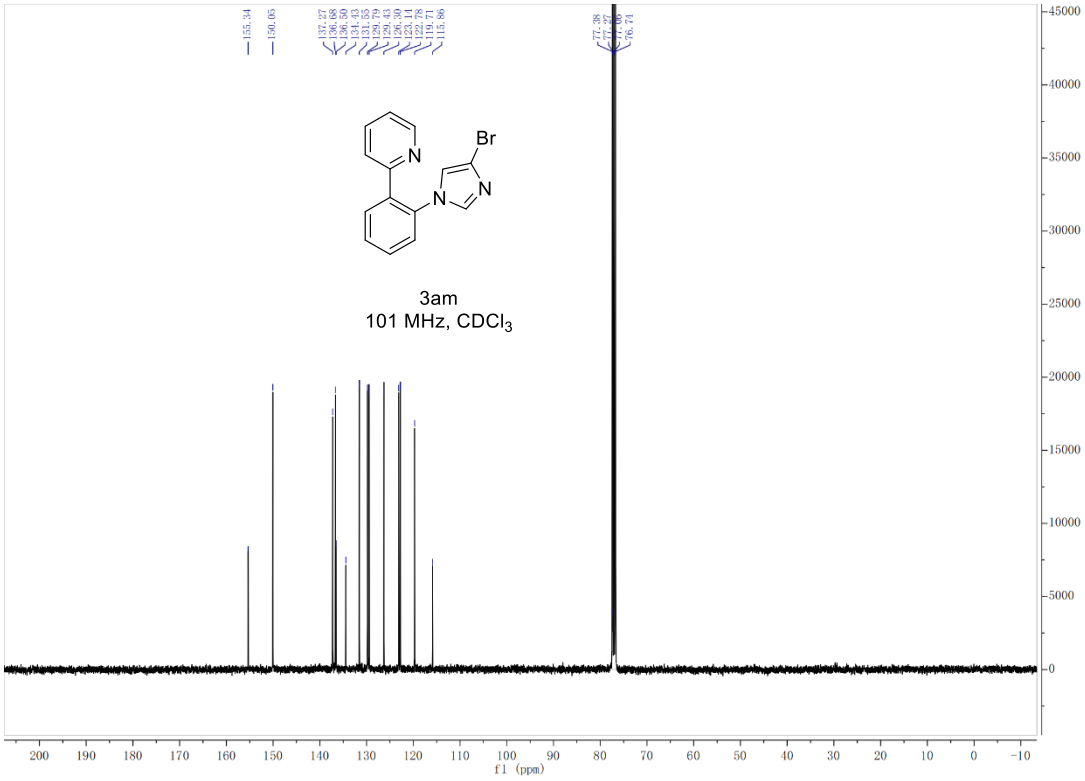

3ak
400 MHz, CDCl3
f1 (ppm)

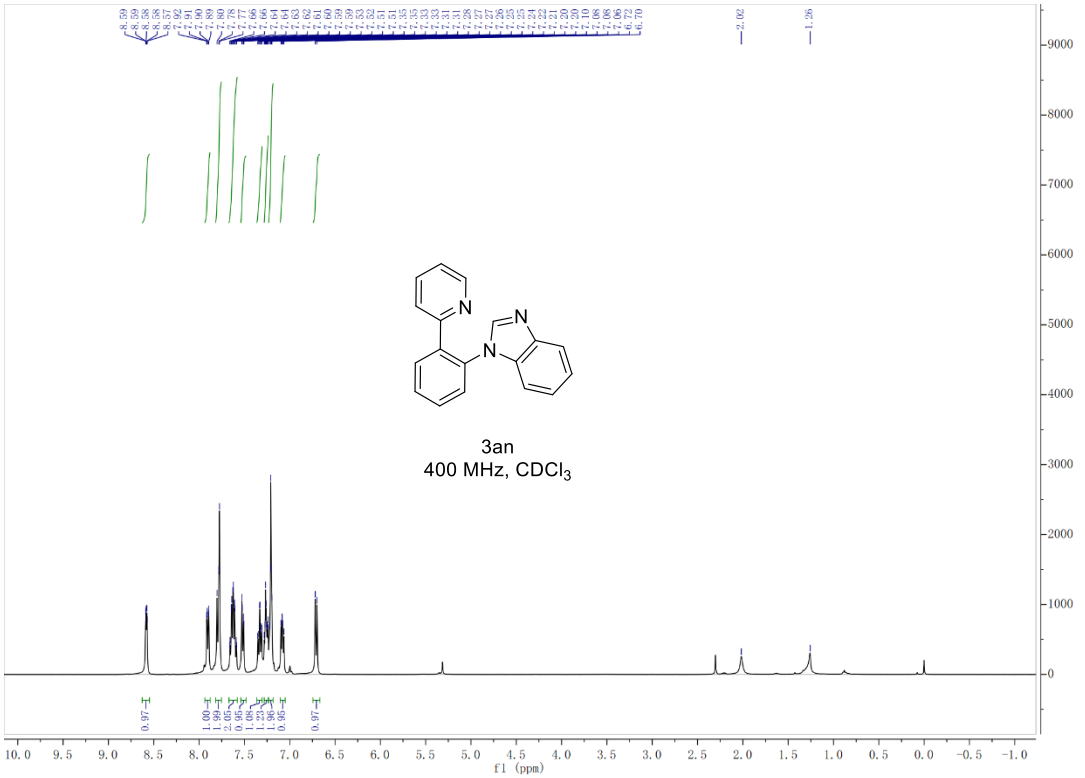

3ak
101 MHz, CDCl3
f1 (ppm)

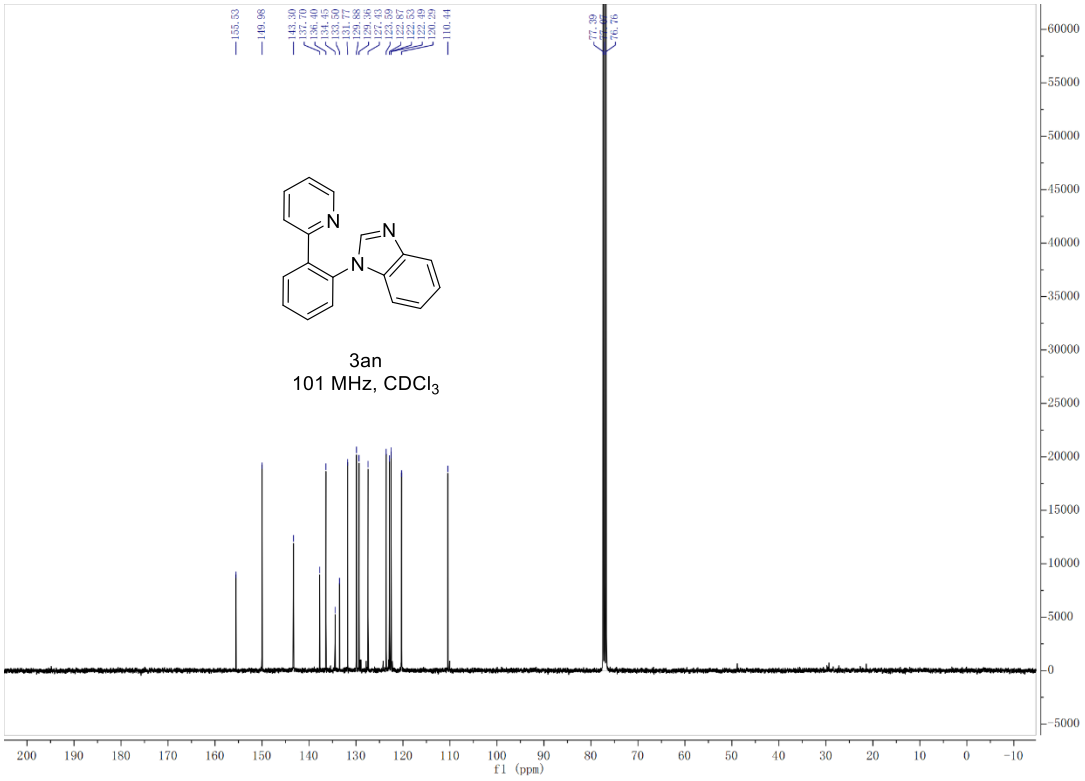

3al
400 MHz, CDCl3
f1 (ppm)

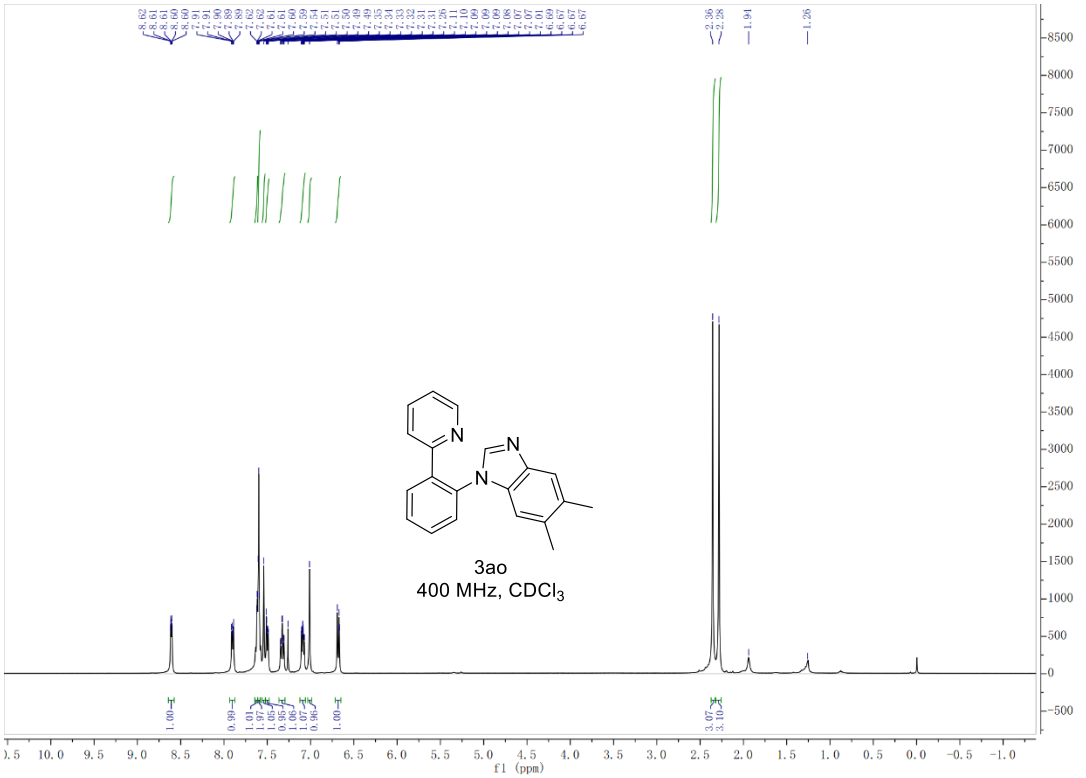

3al
101 MHz, CDCl3
f1 (ppm)

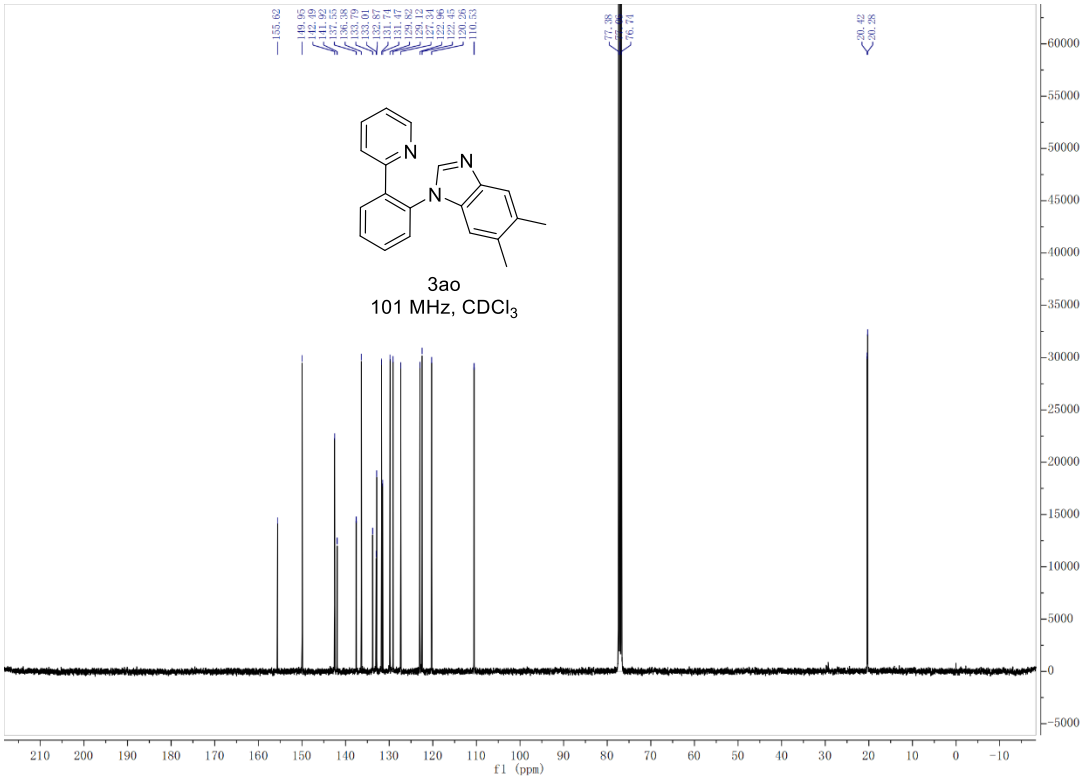

Br
N
N
N
3am
400 MHz, CDCl3
f1 (ppm)

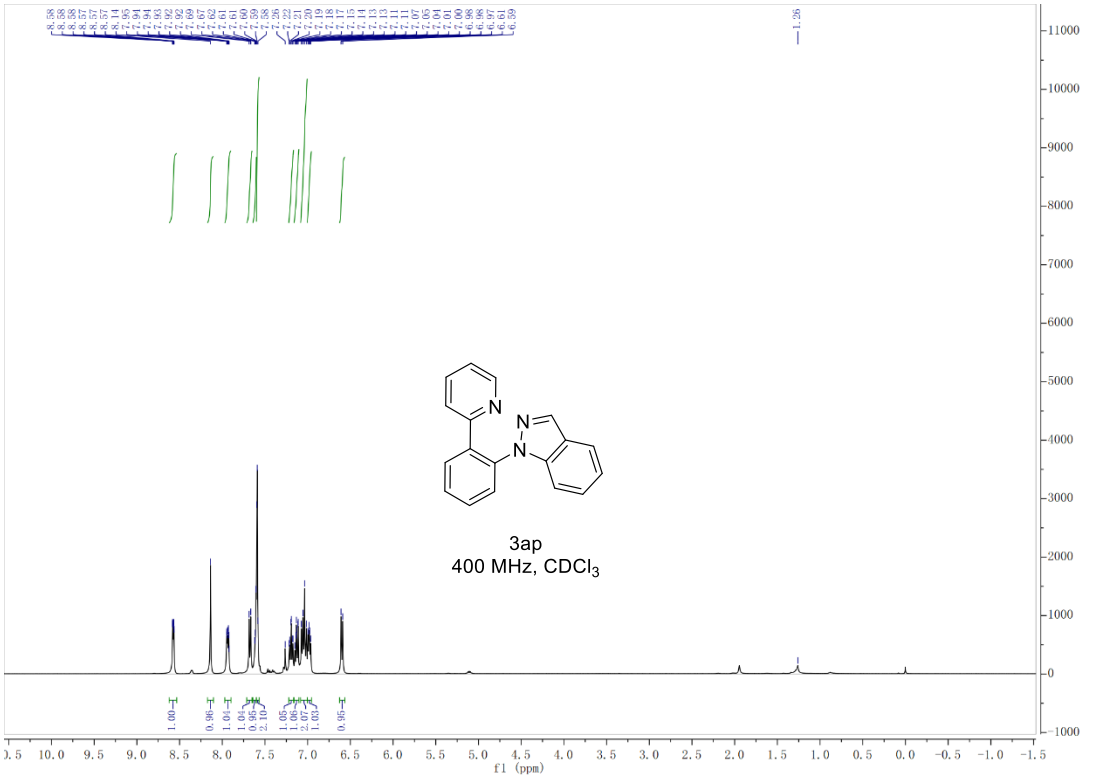

Br
N
N
N
3am
101 MHz, CDCl3
f1 (ppm)

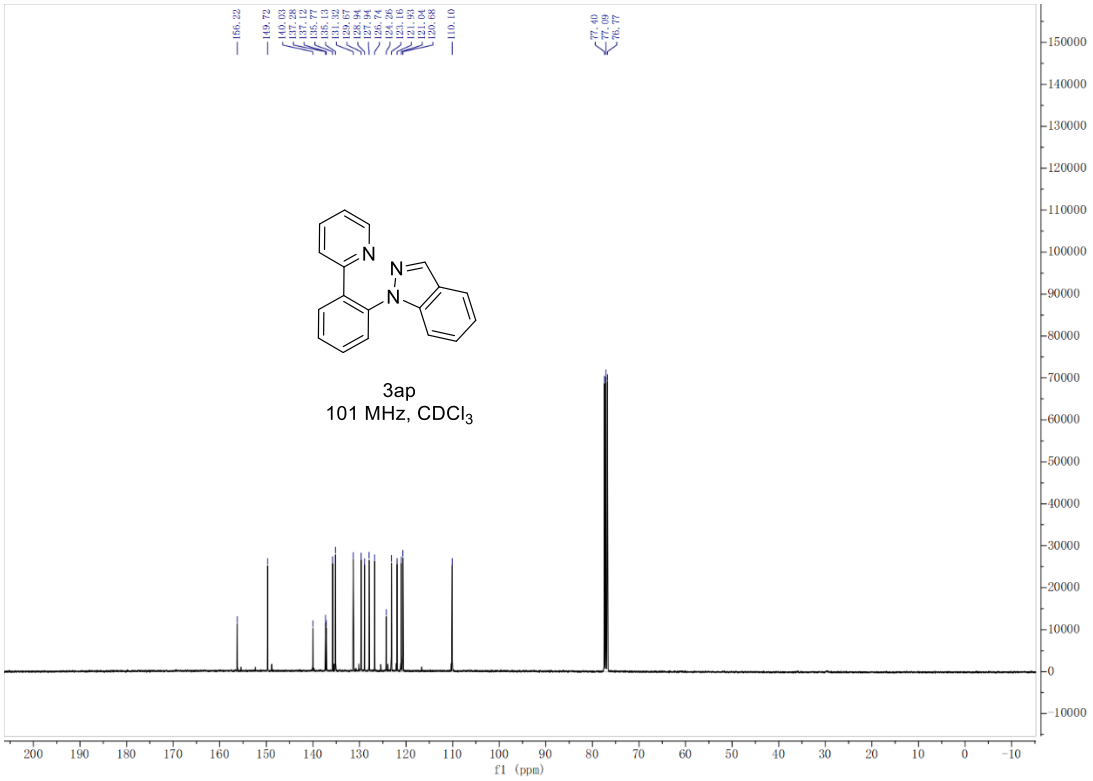

3an
400 MHz, CDCl3
f1 (ppm)

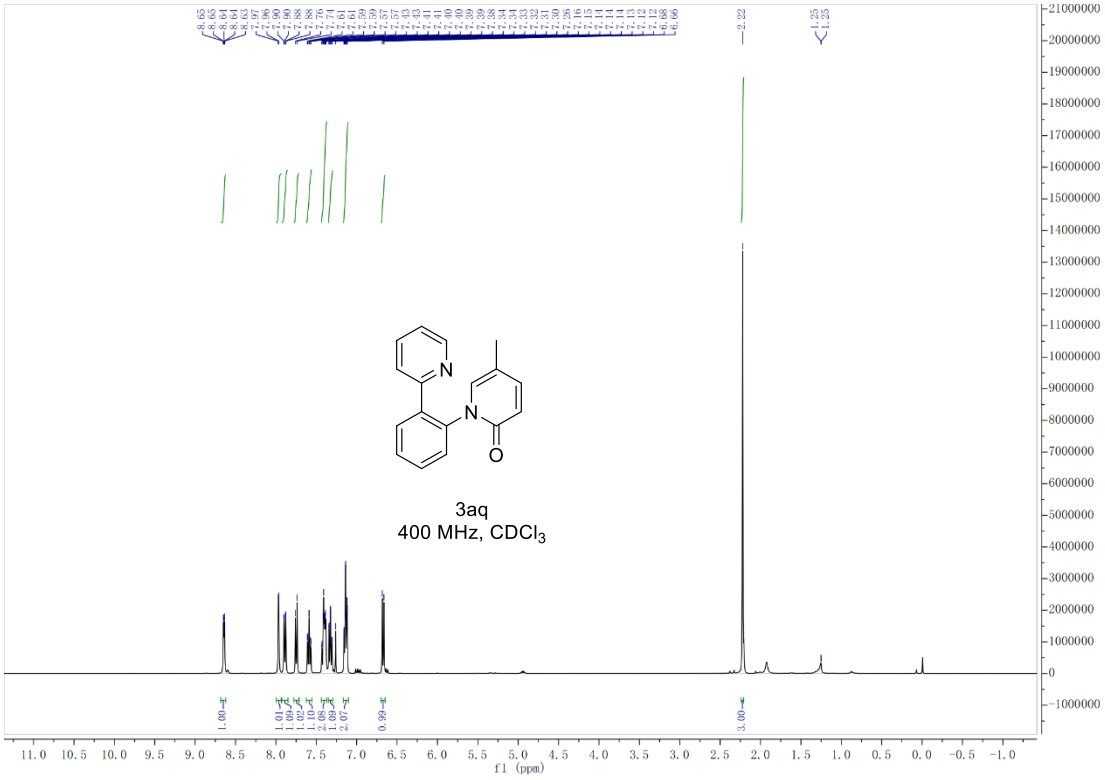

3an
101 MHz, CDCl3
f1 (ppm)

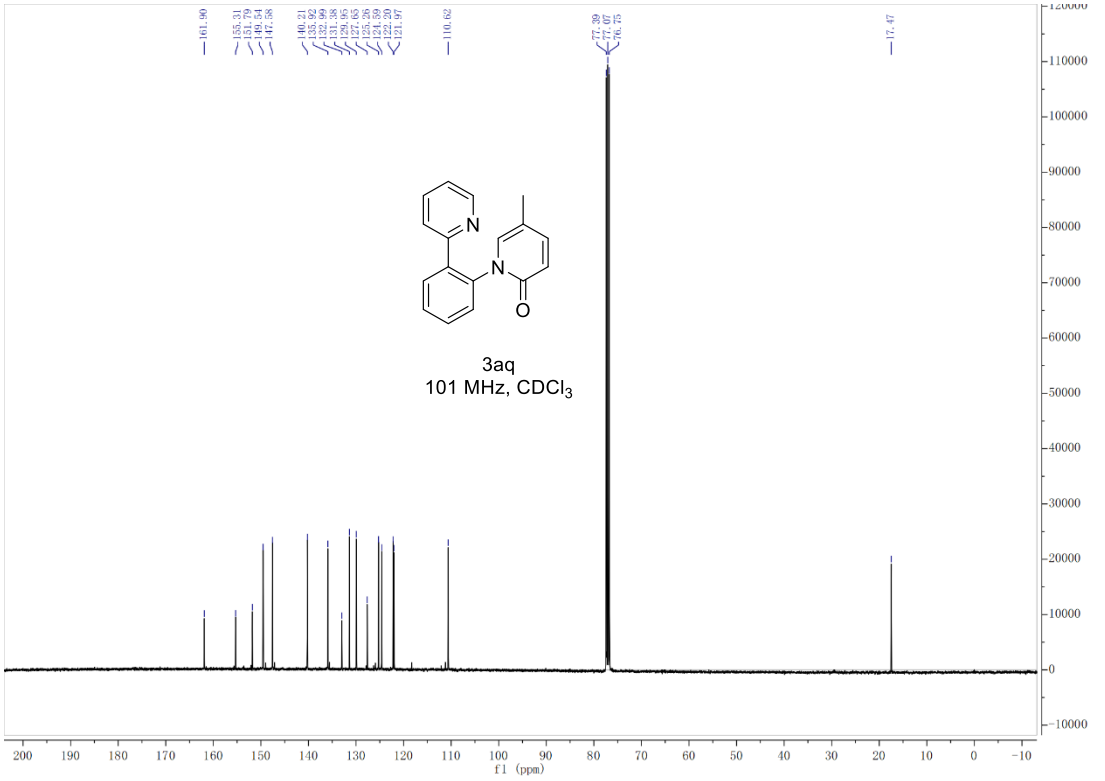

3ao
400 MHz, CDCl3
f1 (ppm)

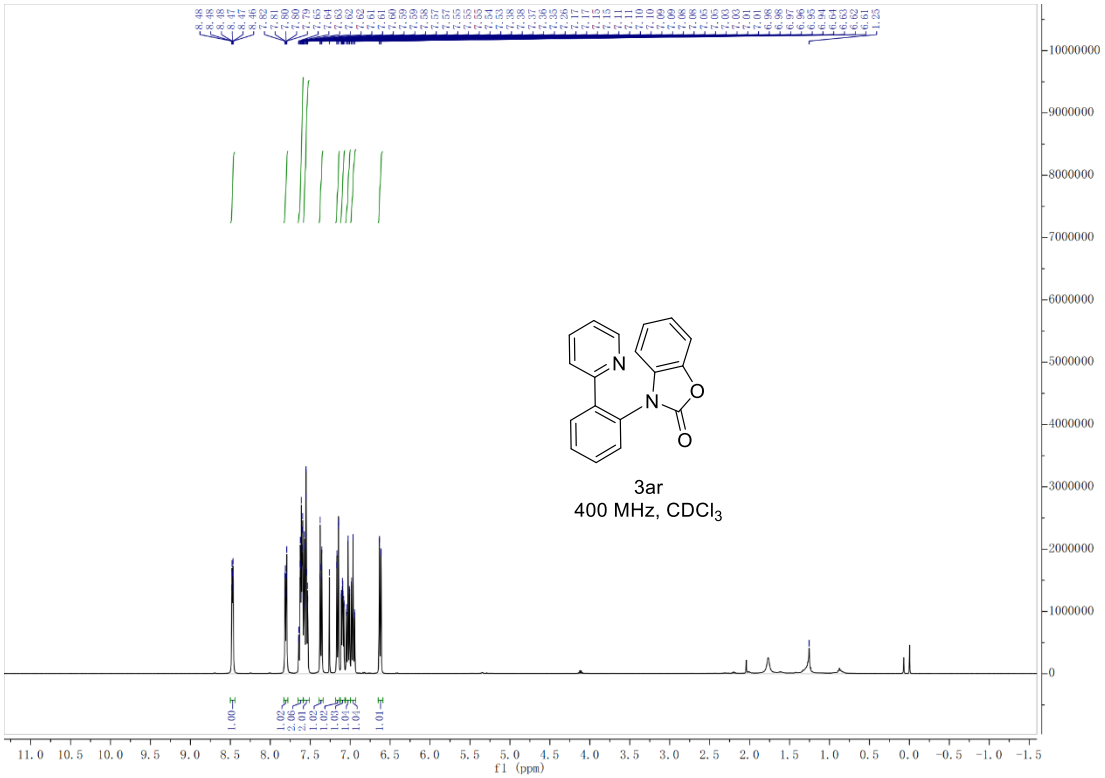

3ao
101 MHz, CDCl3
f1 (ppm)

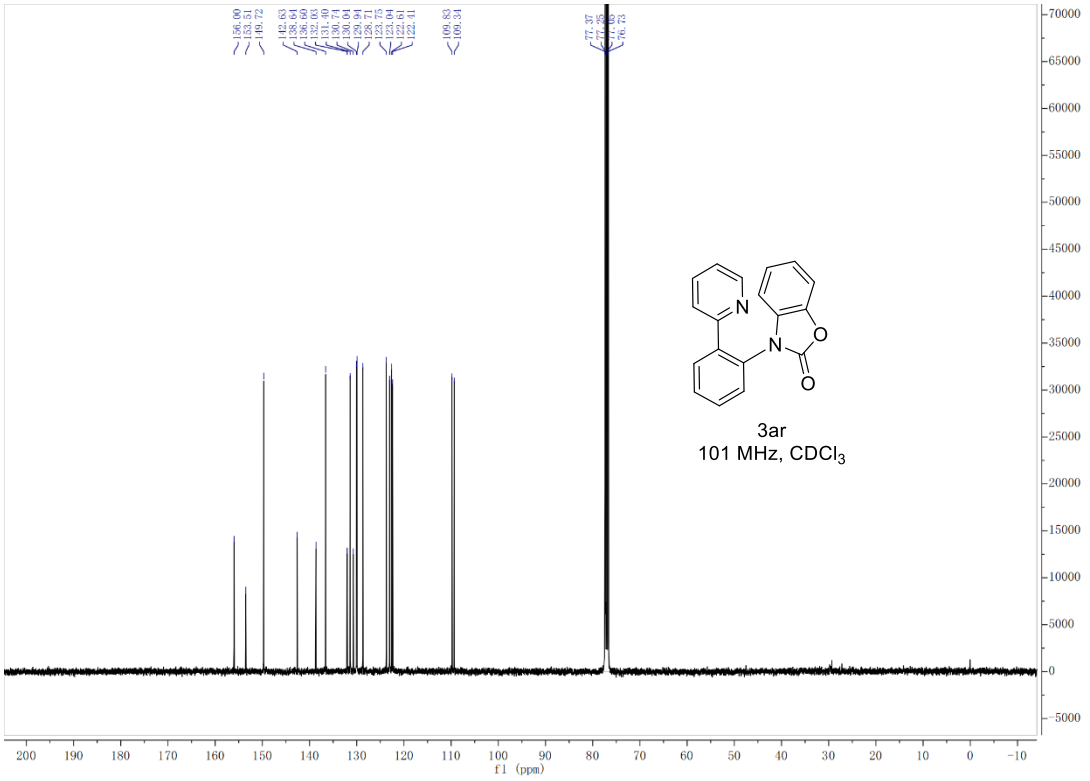

3ap
400 MHz, CDCl3
f1 (ppm)

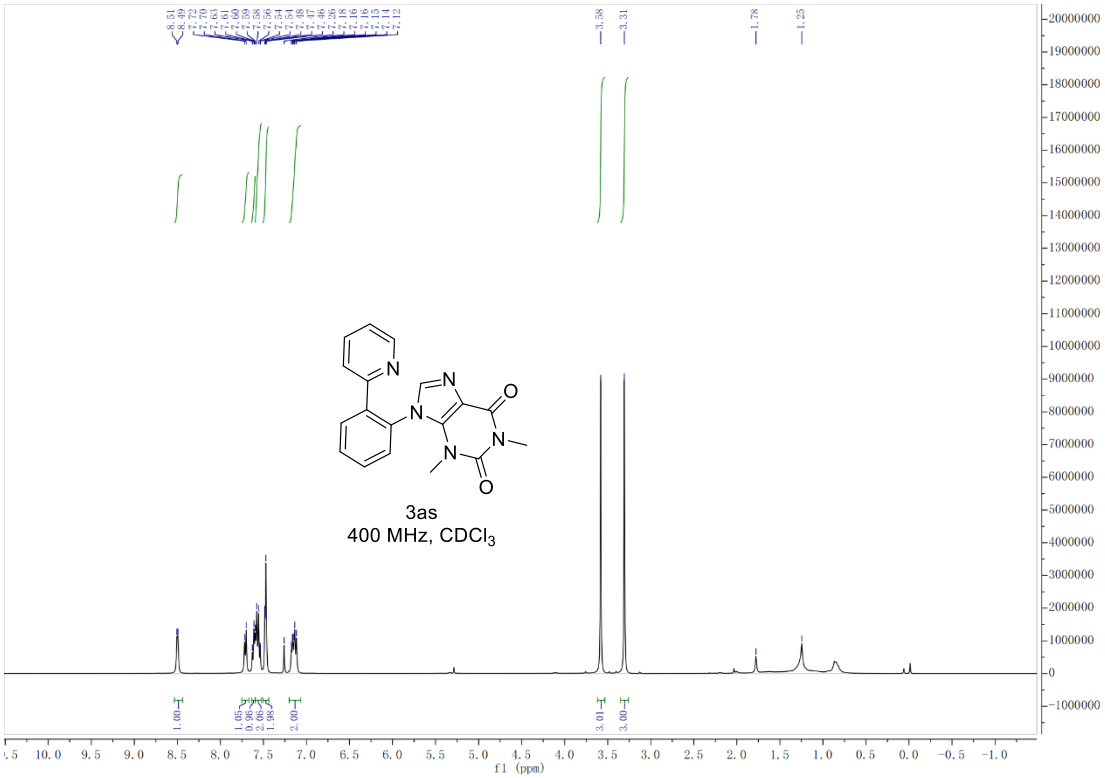

3ap
101 MHz, CDCl3
f1 (ppm)

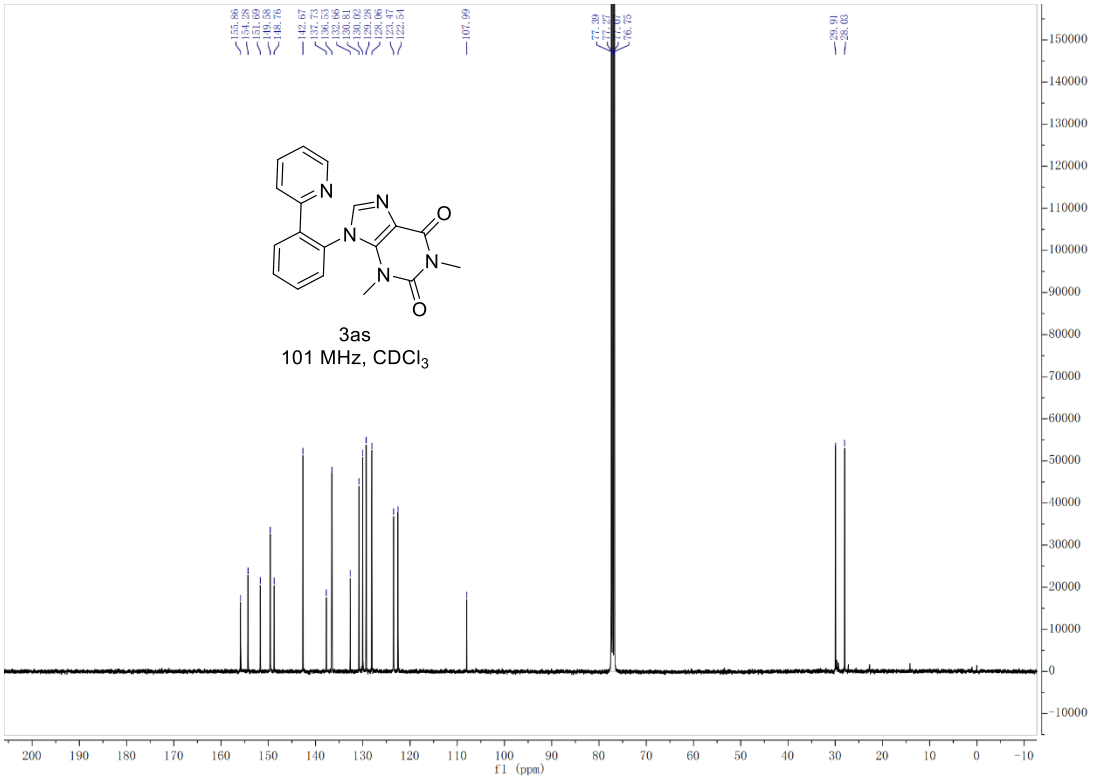

3aq
400 MHz, CDCl3
f1 (ppm)

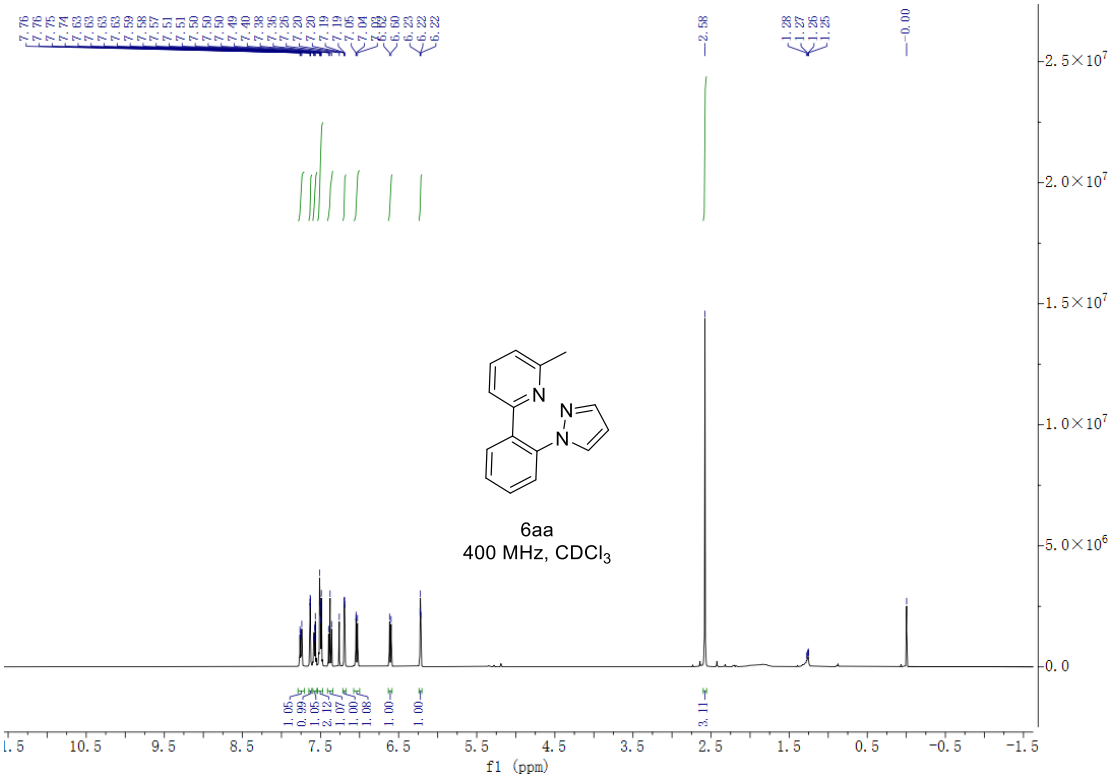

3aq
101 MHz, CDCl3
f1 (ppm)

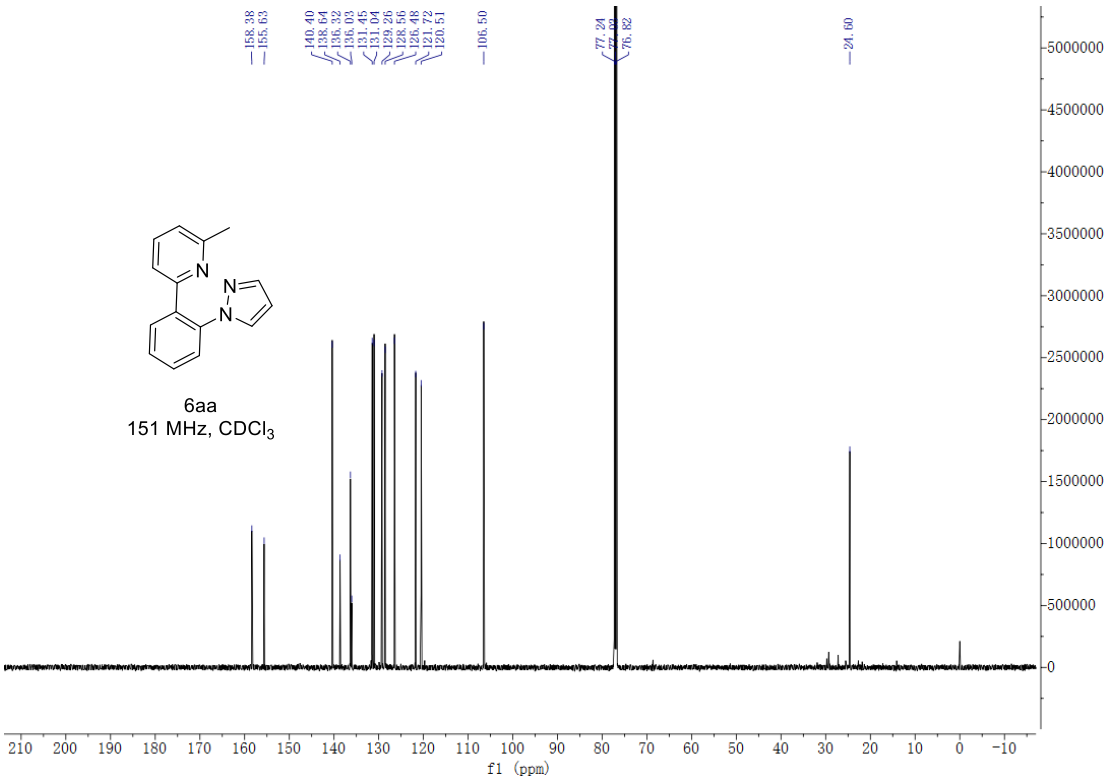

3ar
400 MHz, CDCl3
f1 (ppm)

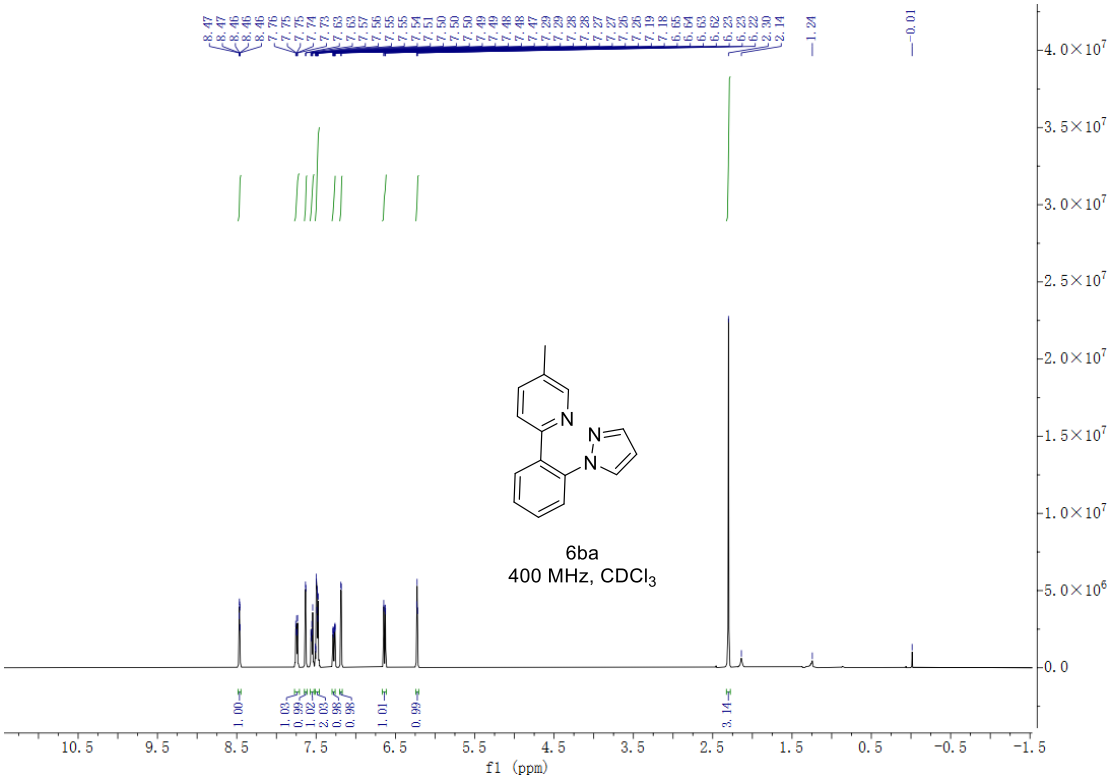

3ar
101 MHz, CDCl3
f1 (ppm)

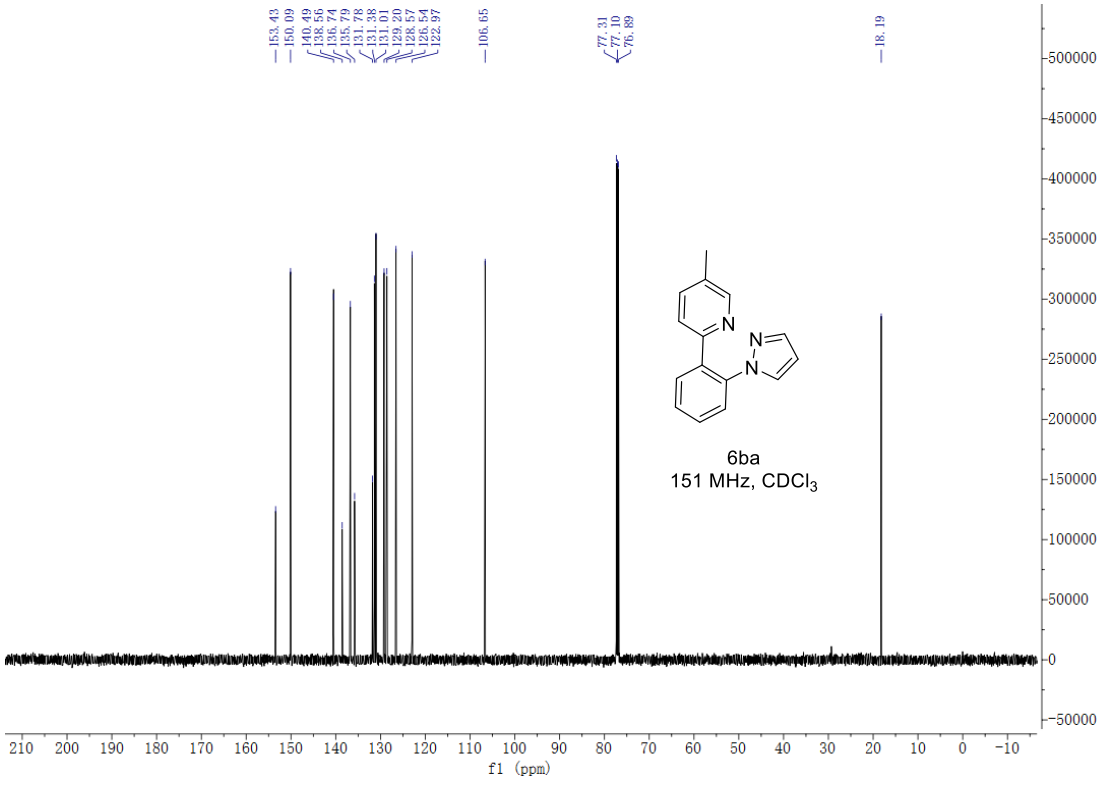

3as
400 MHz, CDCl3
f1 (ppm)

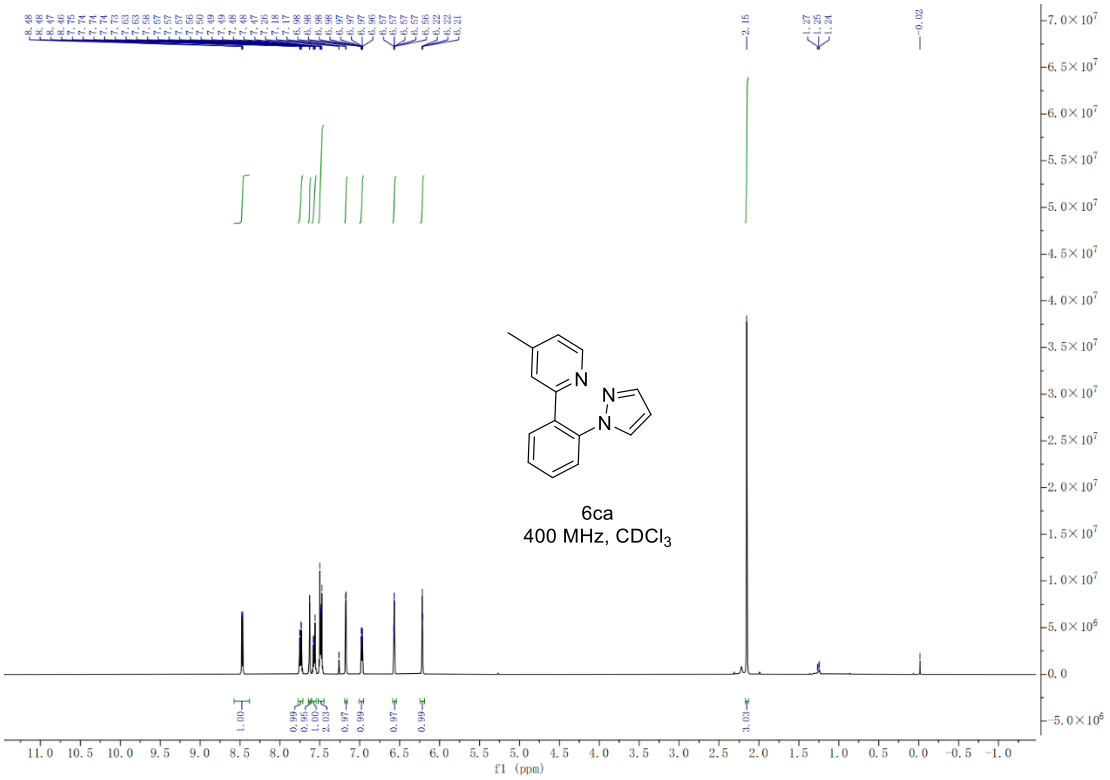

3as
101 MHz, CDCl3
f1 (ppm)

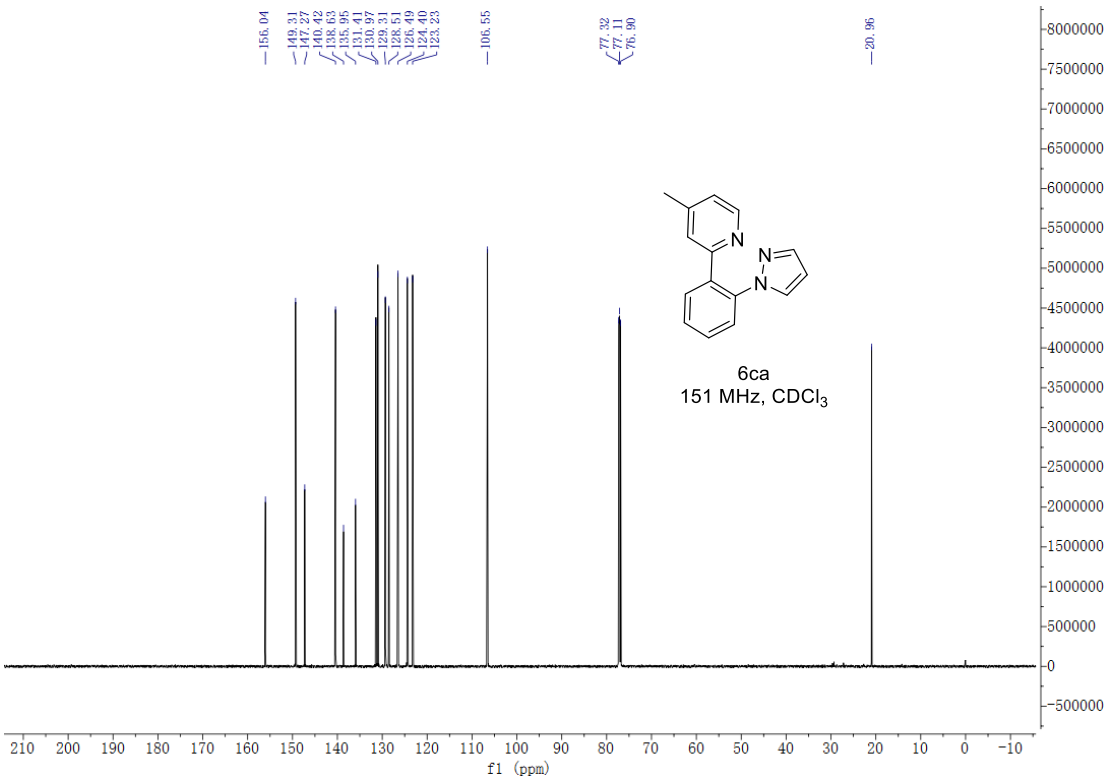

6aa
400 MHz, CDCl3
f1 (ppm)

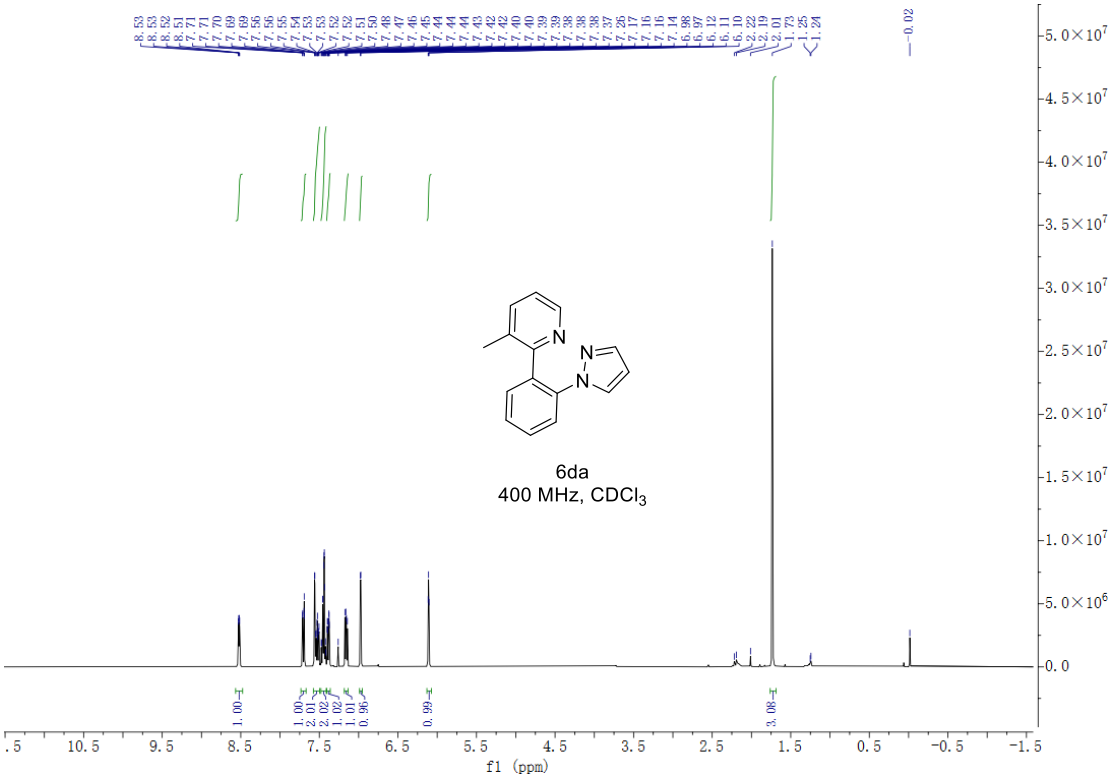

6aa
151 MHz, CDCl3
f1 (ppm)

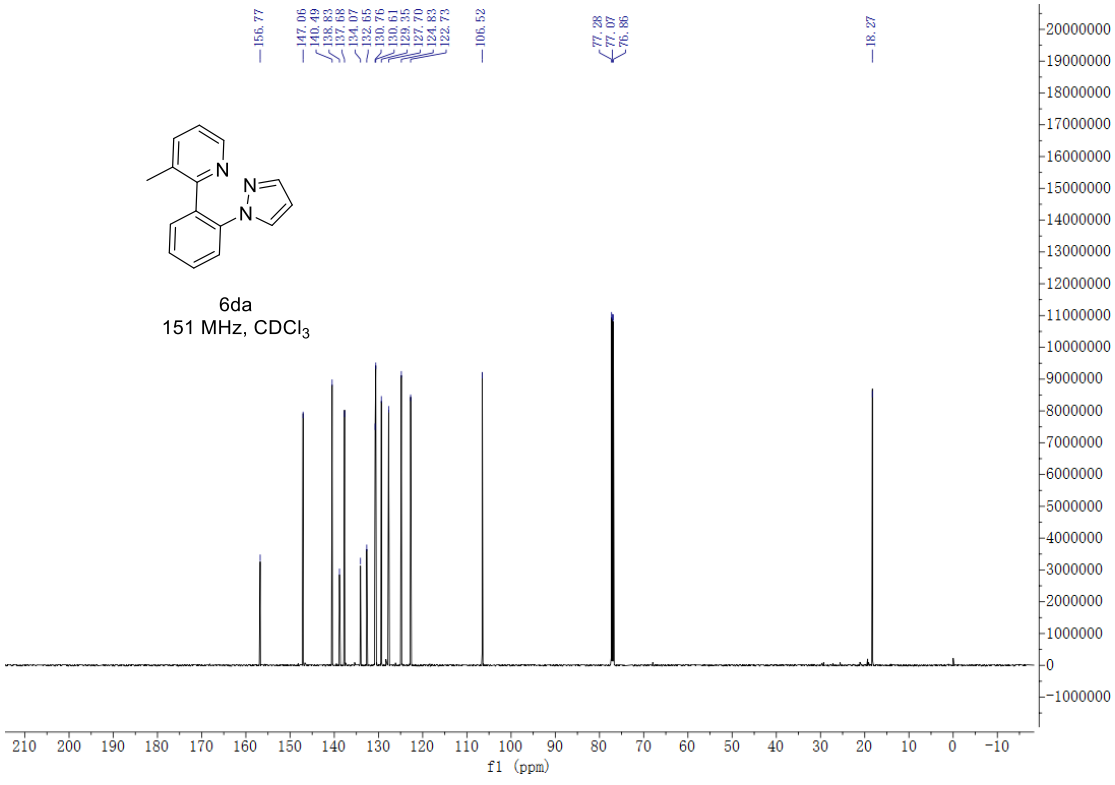

6ba
400 MHz, CDCl3
f1 (ppm)

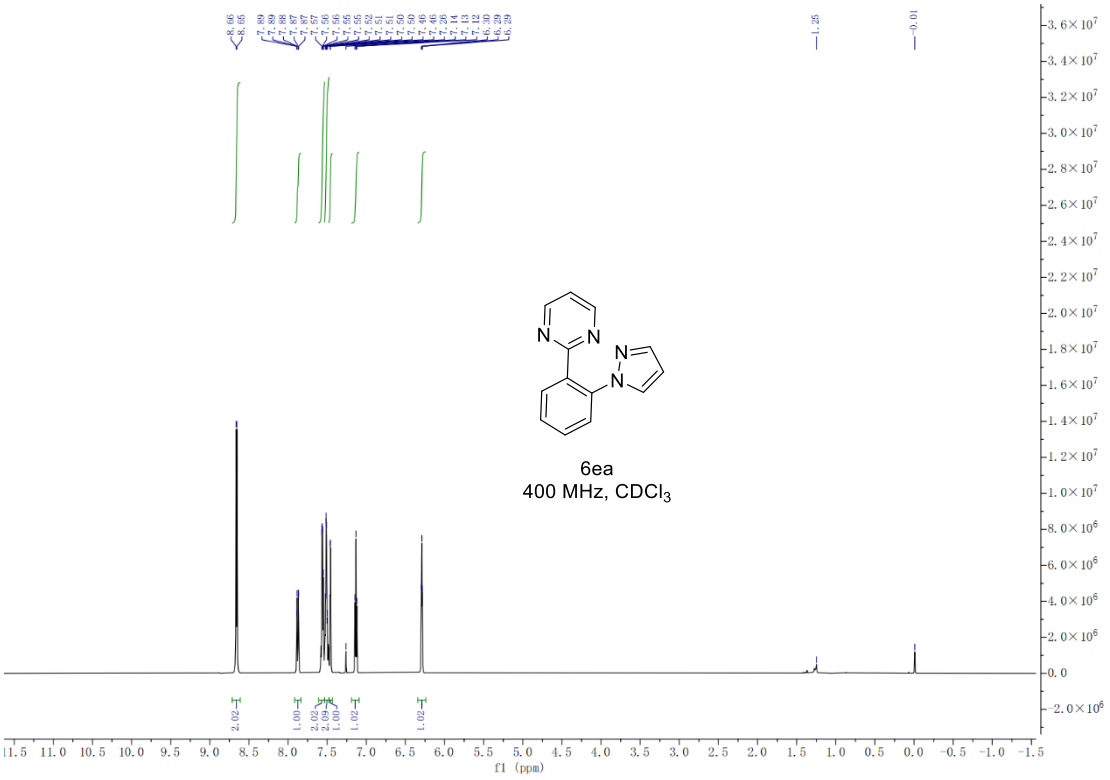

6ba
151 MHz, CDCl3
f1 (ppm)

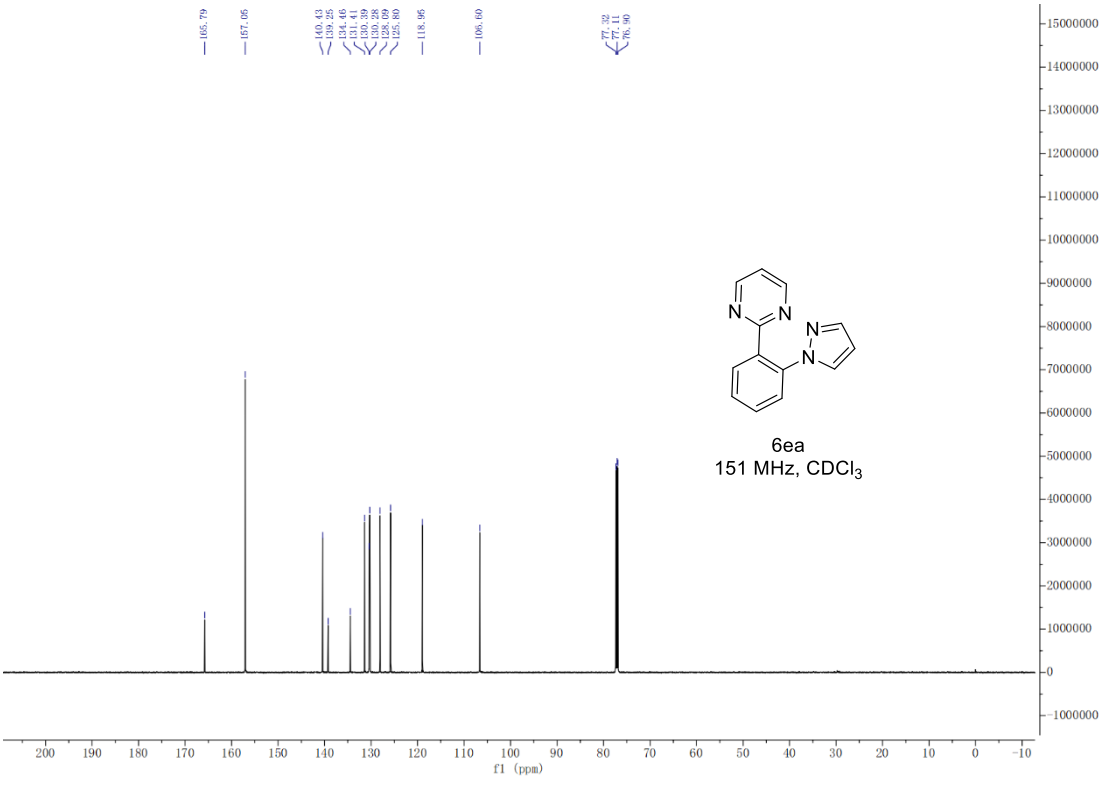

6ca
400 MHz, CDCl3
f1 (ppm)

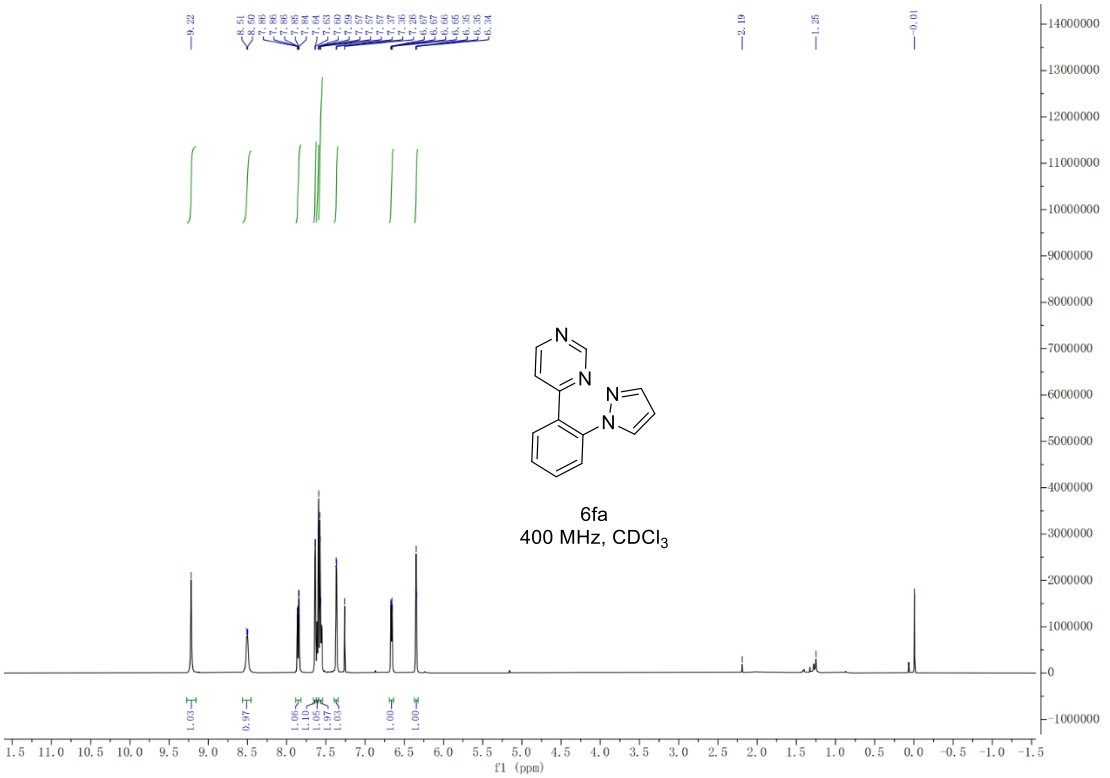

6ca
151 MHz, CDCl3
f1 (ppm)

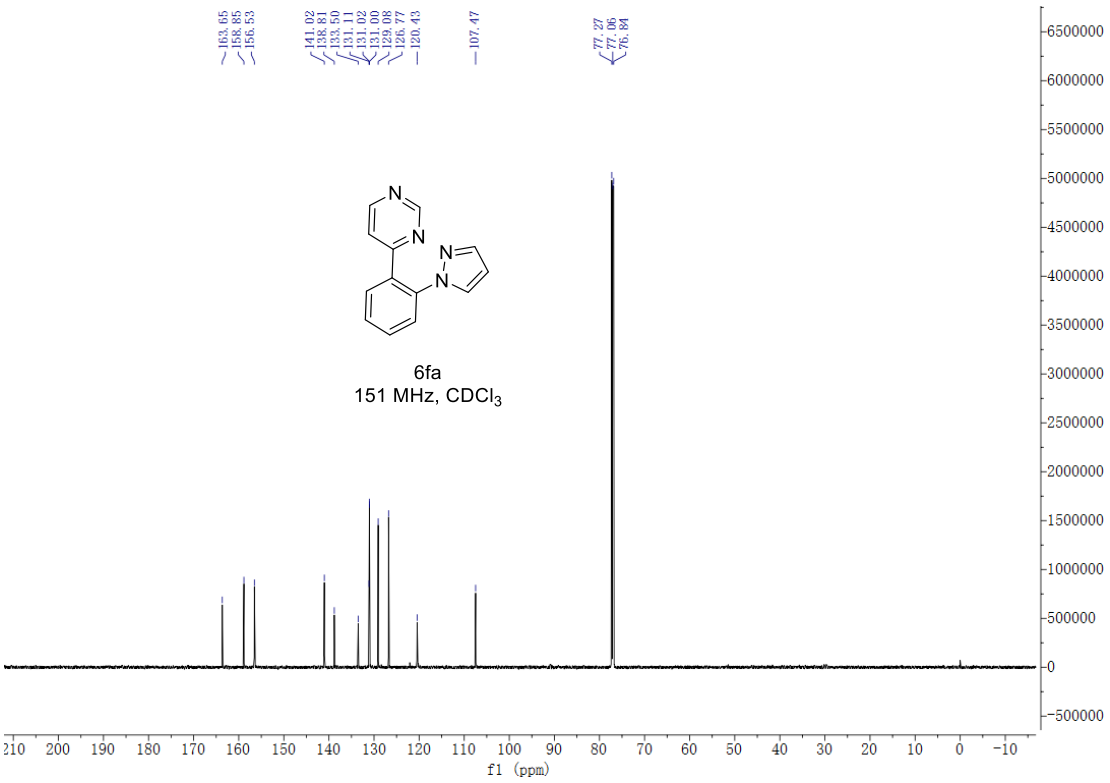

6da
400 MHz, CDCl3
f1 (ppm)

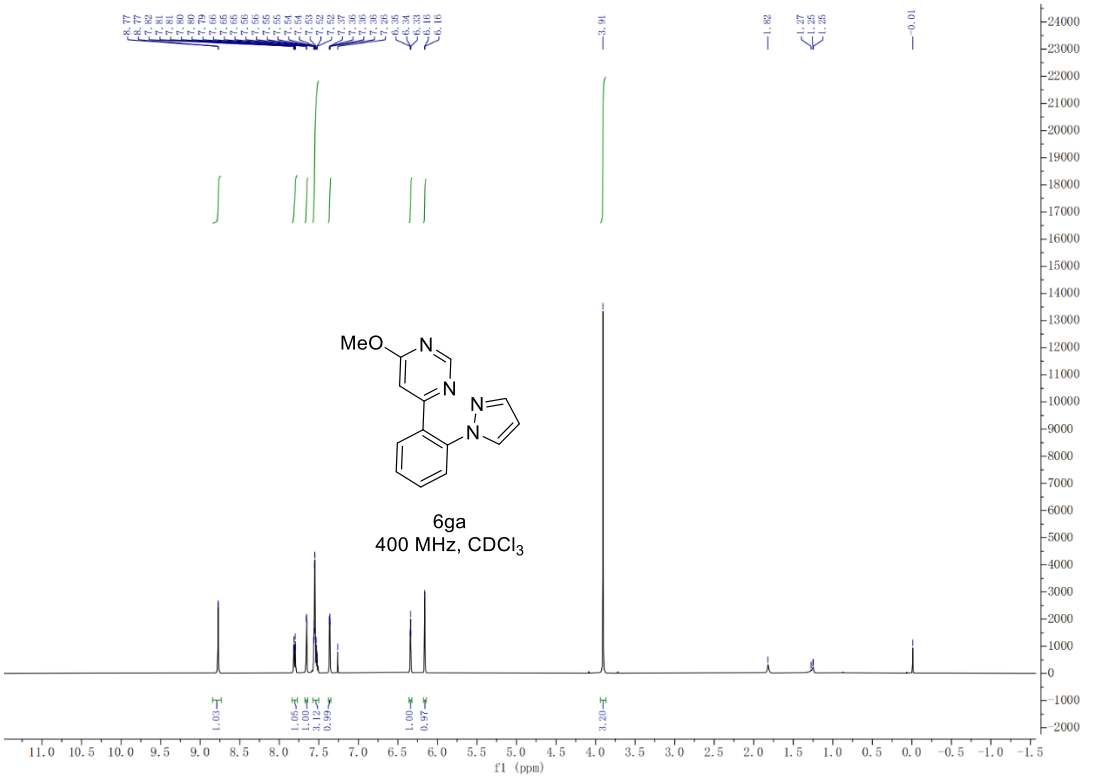

6da
151 MHz, CDCl3
f1 (ppm)

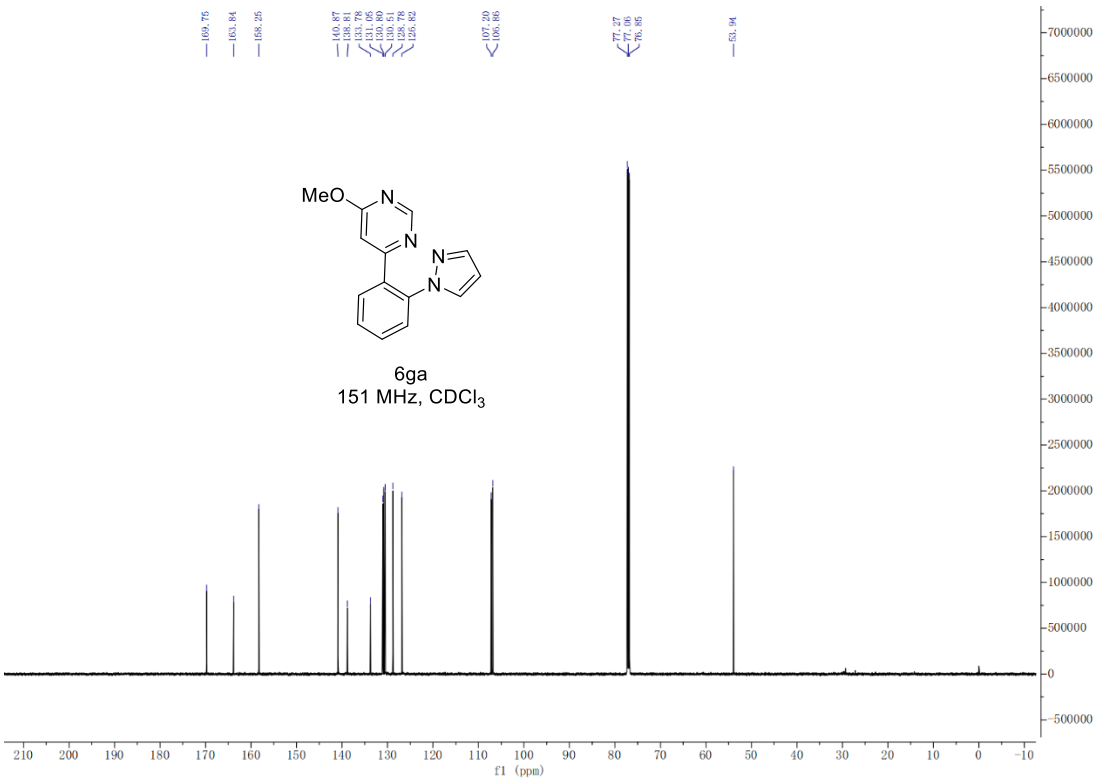

6ea
400 MHz, CDCl3
f1 (ppm)

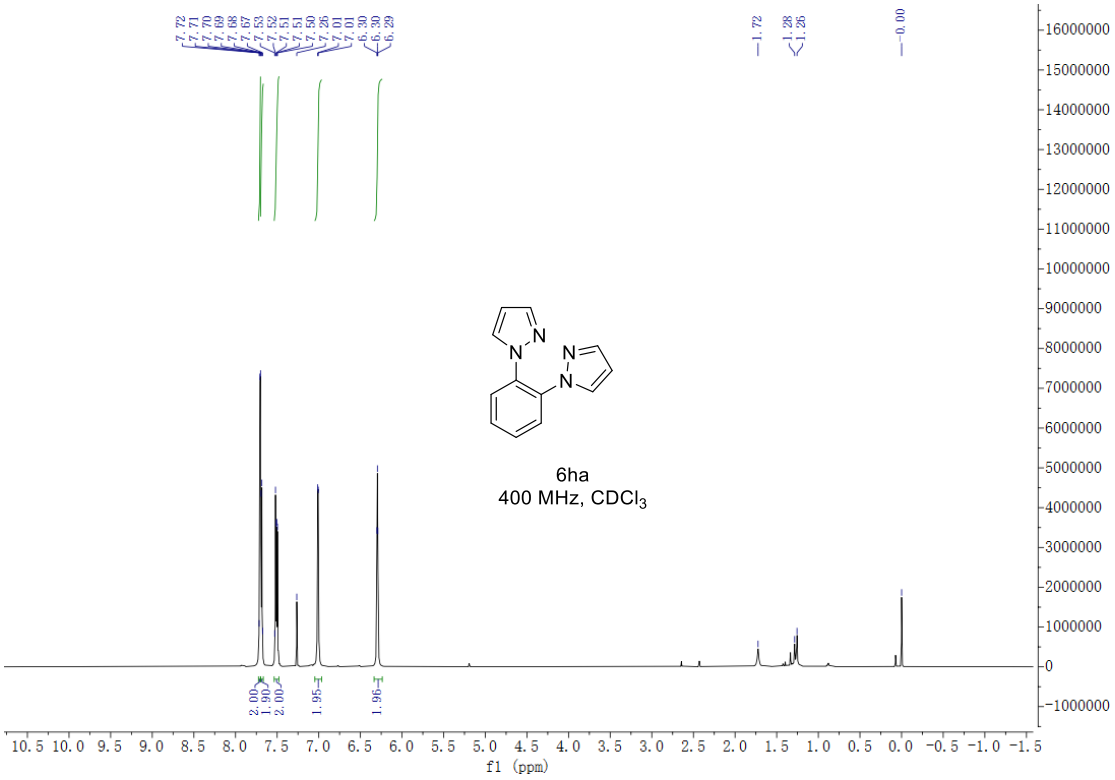

6ea
151 MHz, CDCl3
f1 (ppm)


6fa
400 MHz, CDCl3
f1 (ppm)

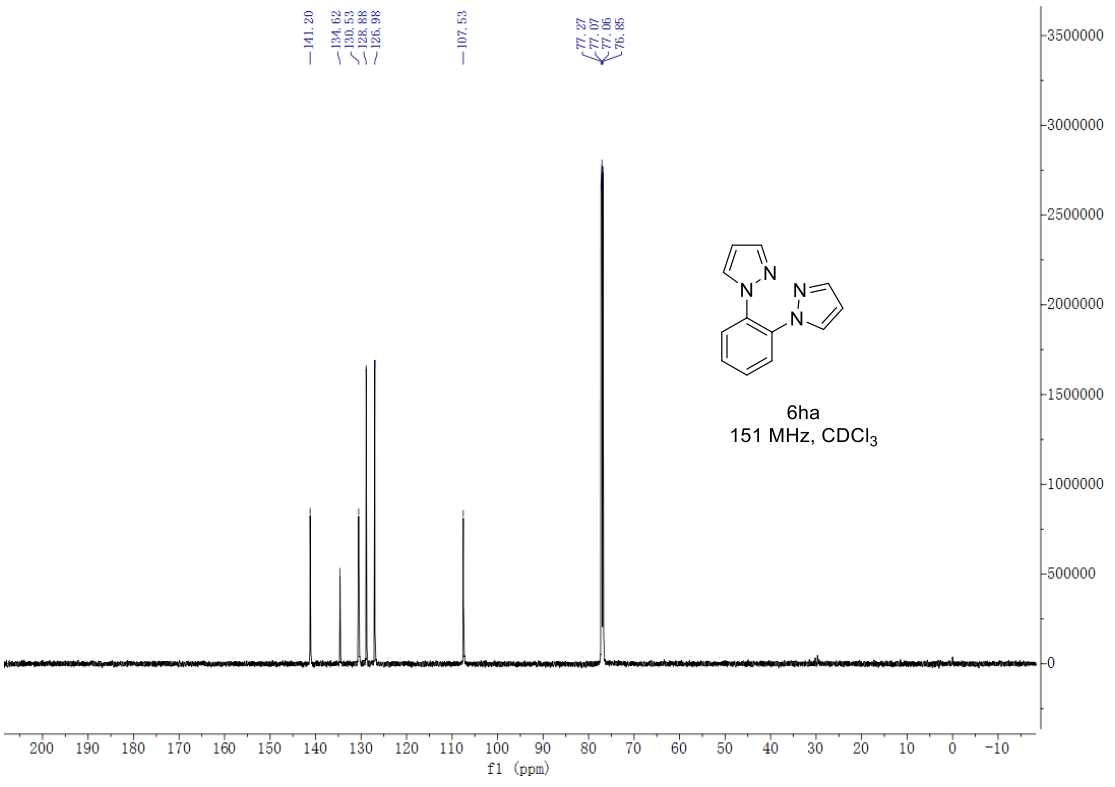

6fa
151 MHz, CDCl3
f1 (ppm)


MeO
N
N
N
N
6ga
400 MHz, CDCl3
f1 (ppm)

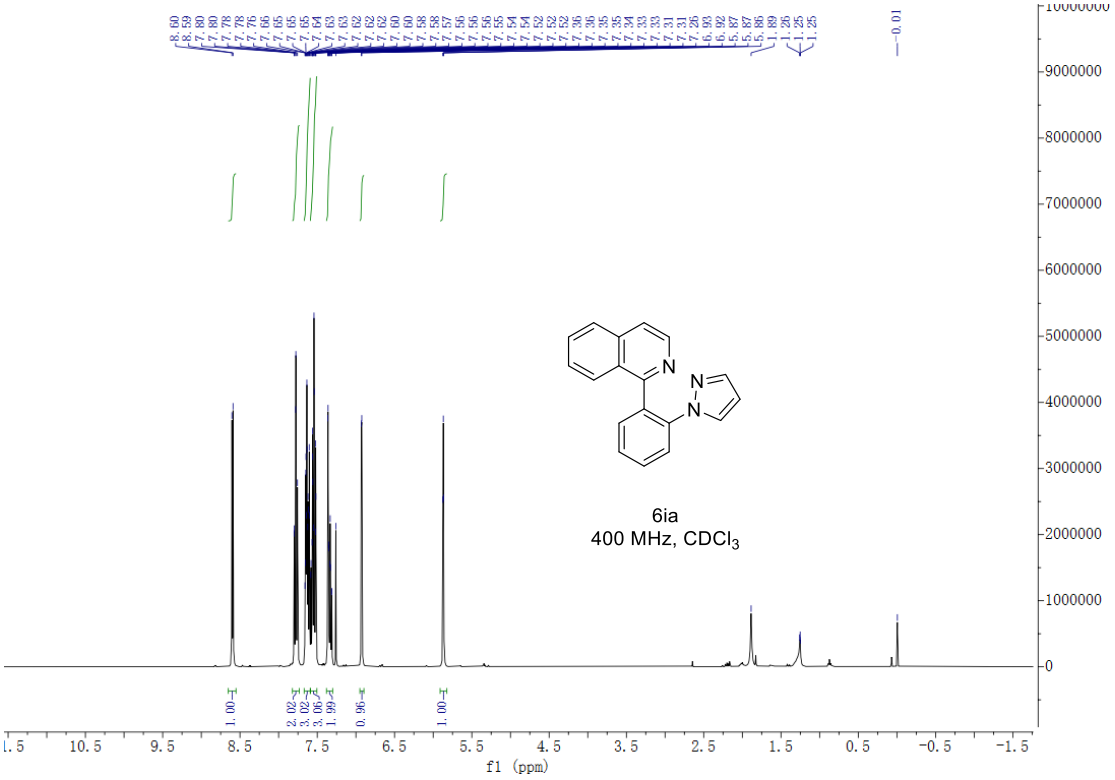

MeO
N
N
N
N
6ga
151 MHz, CDCl3
f1 (ppm)

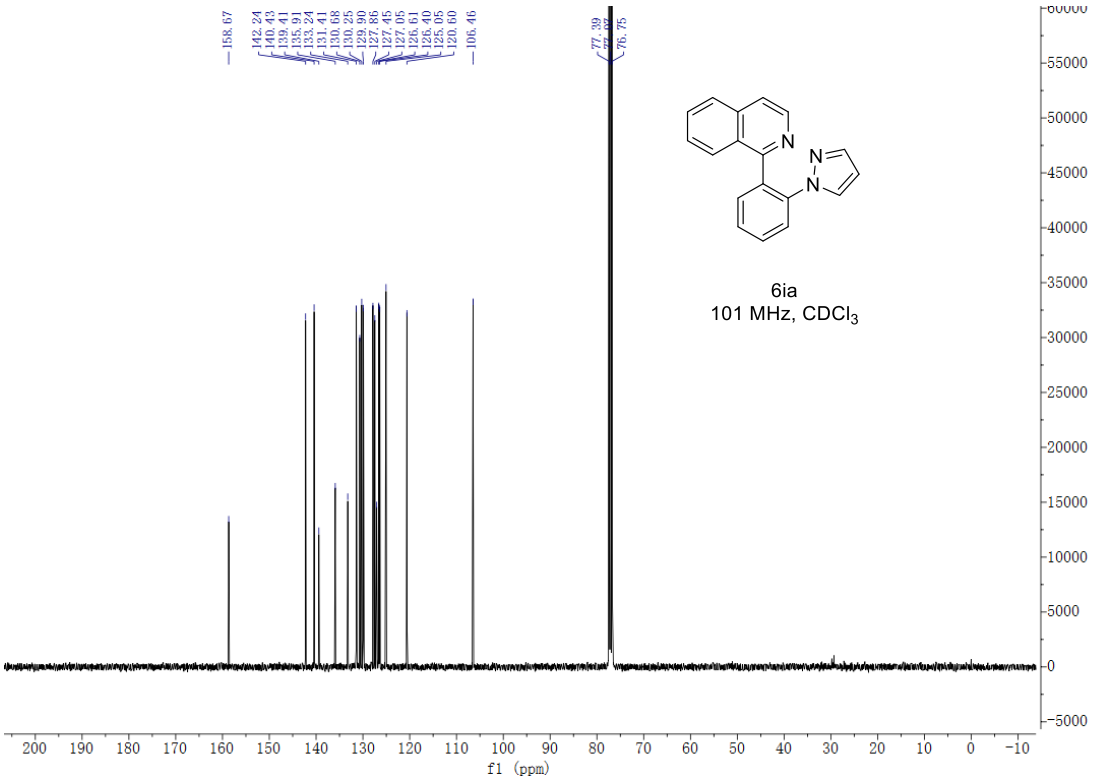


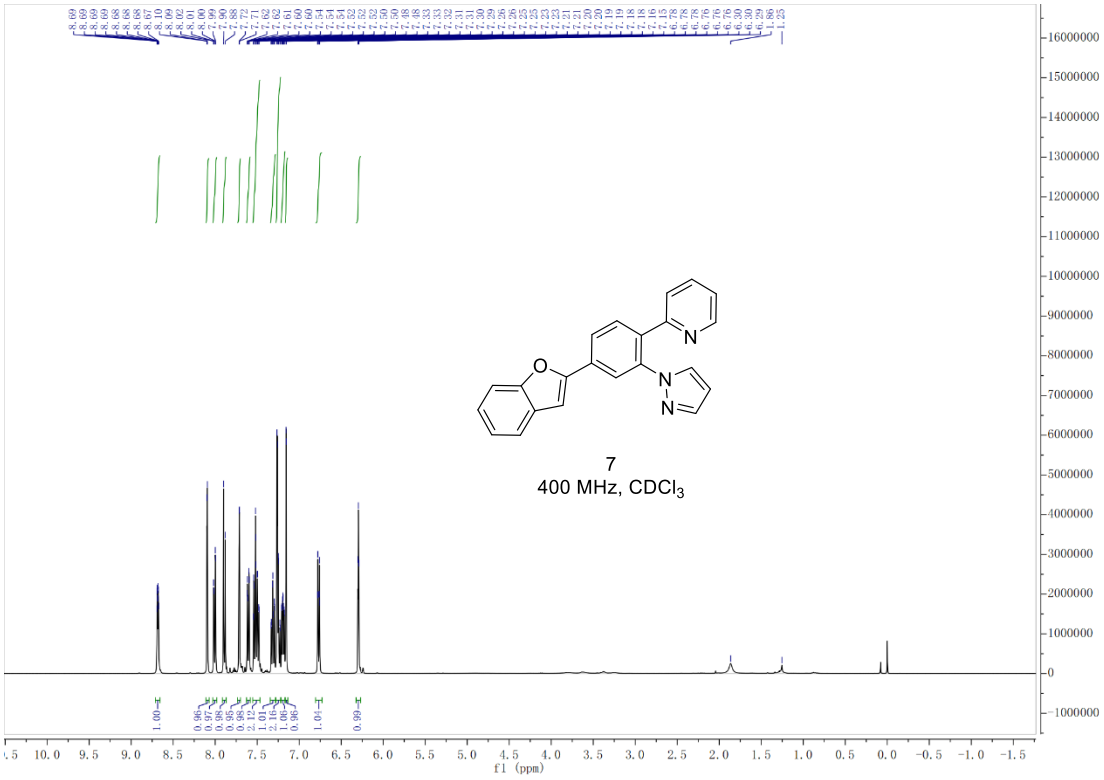
6ha
400 MHz, $CDCl_3$

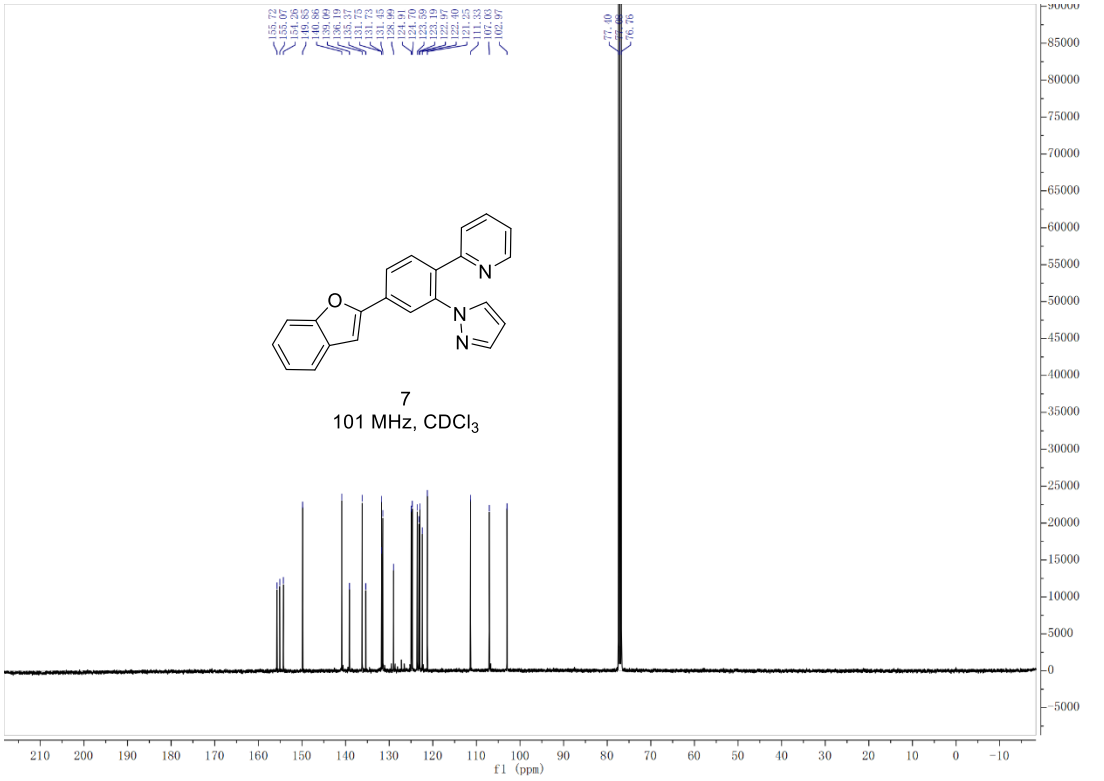


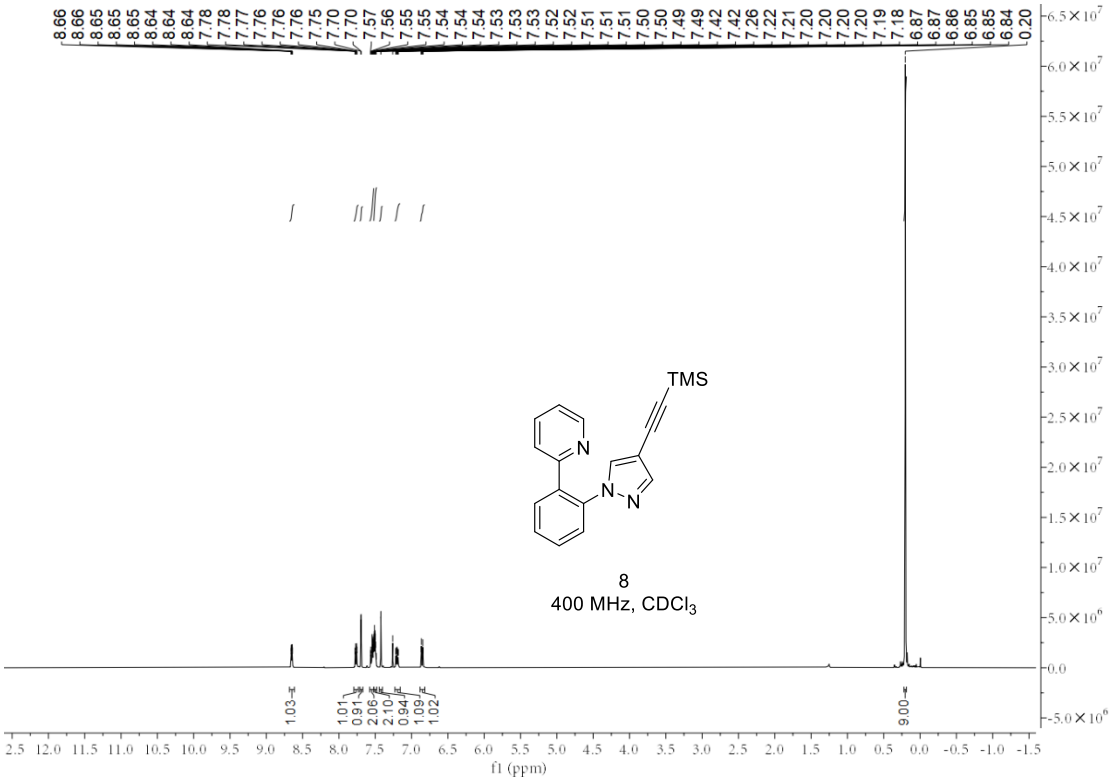
6ha
151 MHz, $CDCl_3$

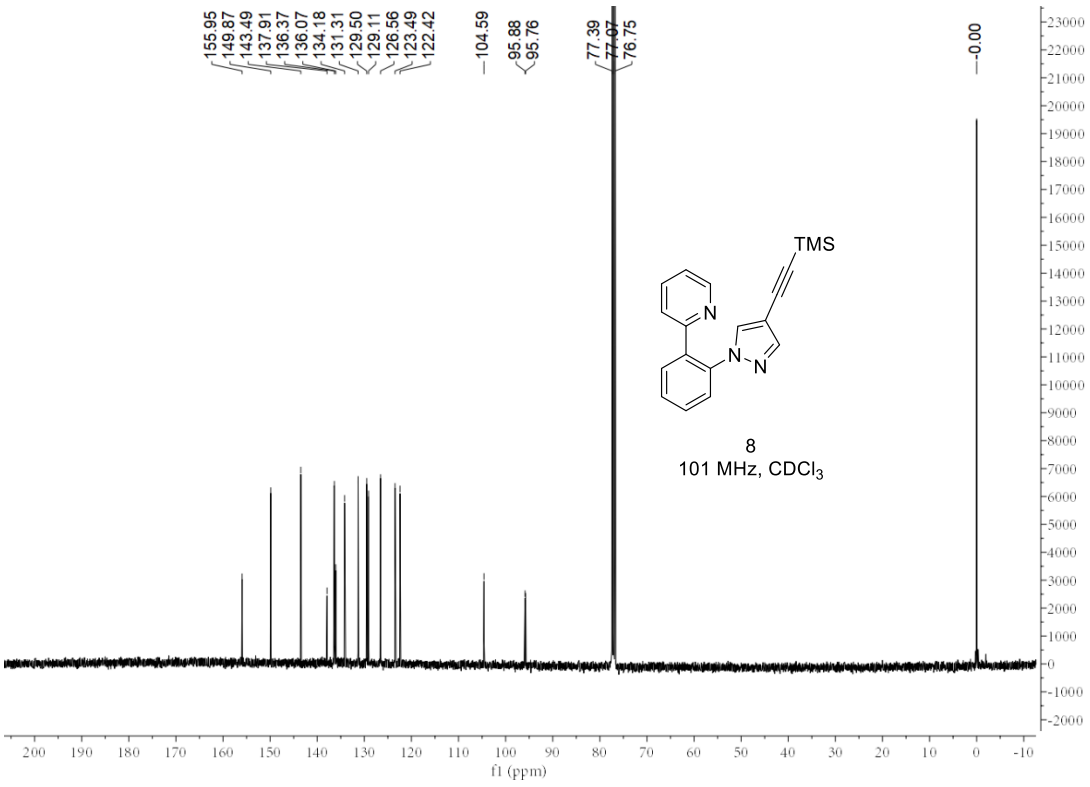

6ia
400 MHz, CDCl3
f1 (ppm)

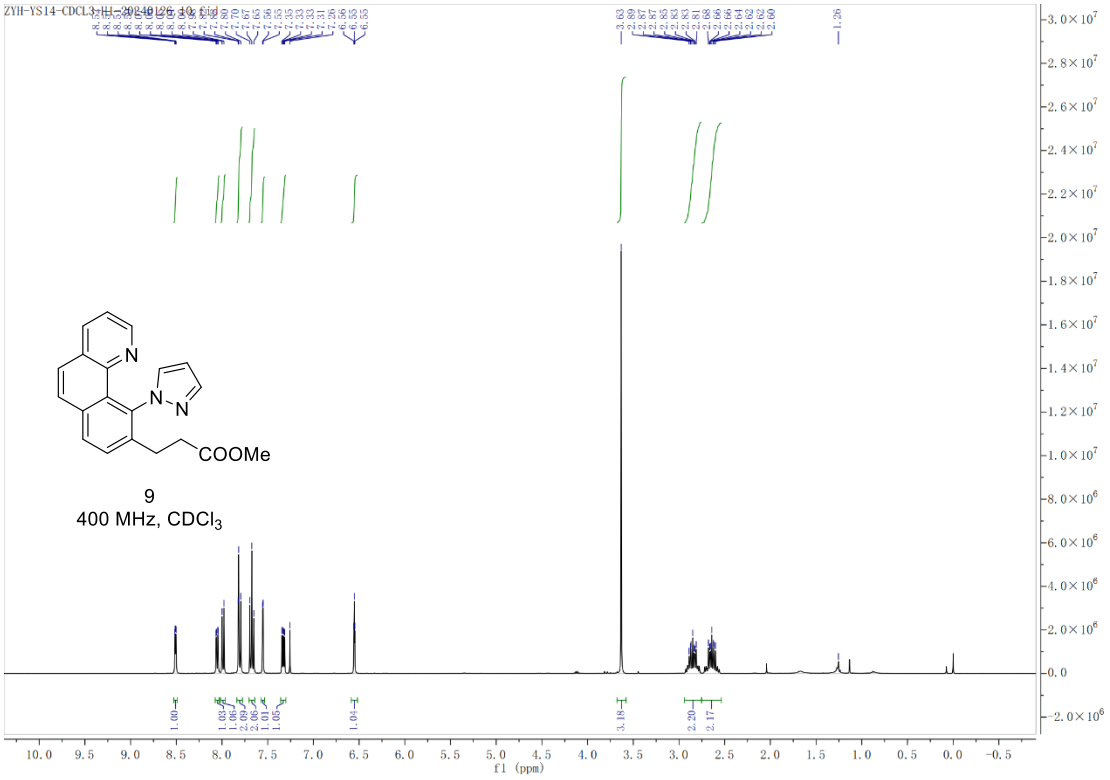

6ia
101 MHz, CDCl3
f1 (ppm)

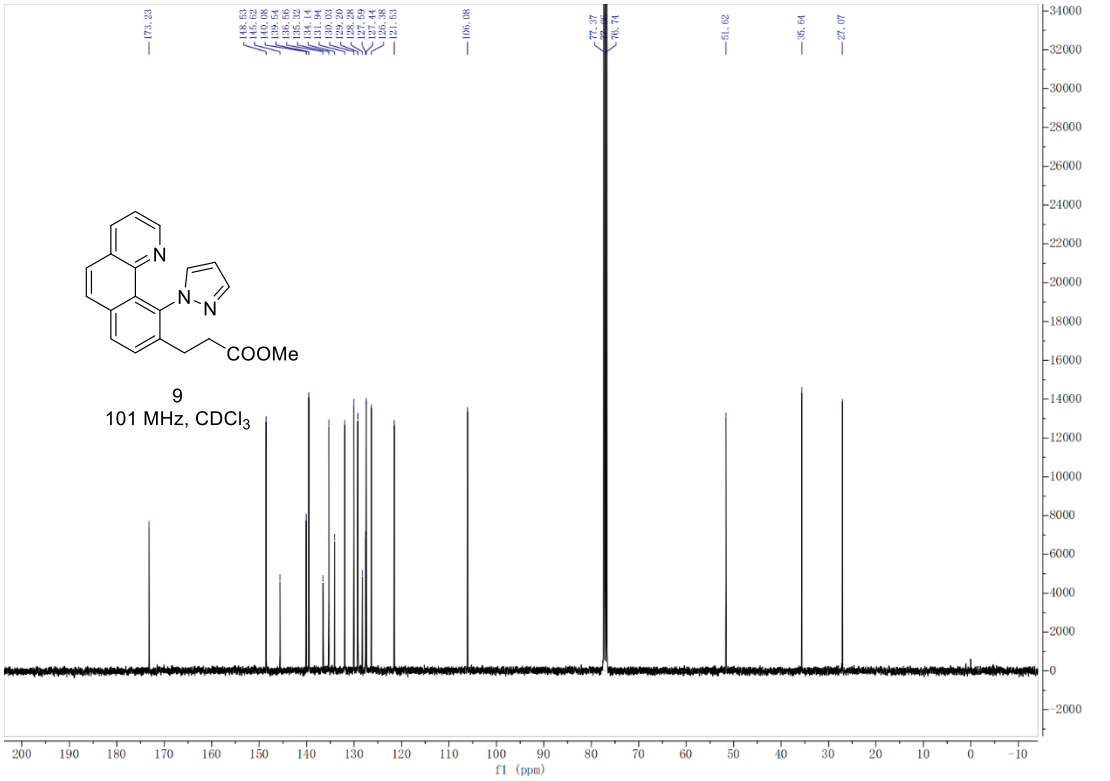

7
400 MHz, CDCl3
f1 (ppm)


7
101 MHz, CDCl3
f1 (ppm)


TMS
N
N
N
8
400 MHz, CDCl3
f1 (ppm)


TMS
N
N
N
8
101 MHz, CDCl3
f1 (ppm)


COOMe
9
400 MHz, CDCl3
f1 (ppm)


COOMe
9
101 MHz, CDCl3
f1 (ppm)