

Supporting Information

Rh(III)-Catalyzed Enantioselective C–H Arylation: Atroposelective Synthesis of 2-Pyridones from Diazo Compounds

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1. General Information

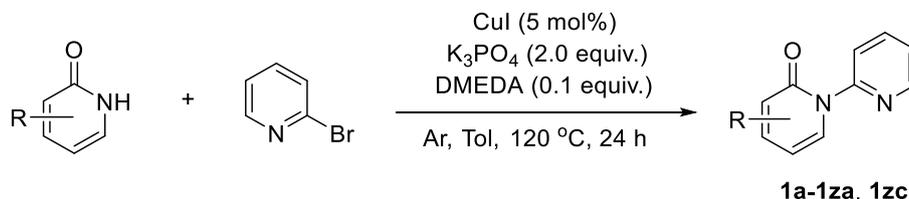
Commercially available chemicals were obtained from Adamas, Acros Organics, Aldrich Chemical Co., Alfa Aesar and TCI and used as received unless otherwise stated. Anhydrous solvent, purchased from Adamas and J&K Chemical, were used as received. All reactions were carried out using 10 mL schlenk tube at Air atmosphere unless otherwise stated. TLC were performed on silica gel Huanghai HSGF254 plates and visualization of the developed chromatogram was performed by fluorescence quenching ($\lambda_{\text{max}}=254$ nm). Flash chromatography was carried out on SiO₂ (silica gel 60, 200-300 mesh). All the ¹H, ¹⁹F and ¹³C NMR were recorded on a Bruker Avance II-400 MHz, 500 MHz or 600 MHz spectrometer. Solvent used for spectra was chloroform-*d*, DMSO-*d*₆ and Methanol-*d*₄. ¹H chemical shifts are reported in ppm on the δ -scale relative to TMS (δ 0.00), chloroform-*d* (δ 7.26) or DMSO-*d*₆ (δ 2.50) or Methanol-*d*₄ (δ 4.87), and ¹³C NMR are reported in ppm relative to chloroform-*d* (δ 77.16) or DMSO-*d*₆ (δ 39.52) or Methanol-*d*₄ (δ 49.00). Data for ¹H NMR are recorded as follows: chemical shift (δ , ppm) and multiplicity [s = singlet, d = doublet, t = triplet, m = multiplet or unresolved, brs = broad singlet, coupling constant (s) in Hz, integration]. Data for ¹³C NMR are reported in terms of chemical shift (δ , ppm). APCI-HRMS data were acquired using Thermo fisher Q Exactive HRMS equipped with an APCI source. All the chiral stationary phases including Chiral IA, IB N-5, IC, IK-3, used were purchased from Daicel Chiral Technologies (China) Co., Ltd. Optical rotations were measured using a Rudolph Autopol I polarimeter.

2. Preparation of Starting Materials

2.1 General synthetic procedure for the preparation of 2-pyridones

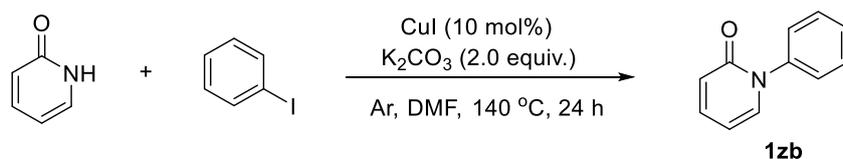
1a-1za, 1zc were all known compounds and prepared according to the corresponding reports^[1].

Preparation of the Substrates **1a-1za, 1zc**



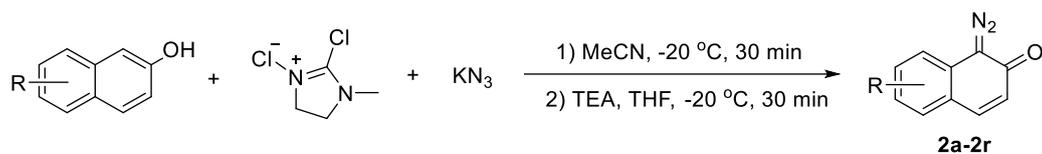
To a reaction tube equipped with a magnetic stir bar were successively added the corresponding 2-hydroxypyridine (10 mmol, 1.0 equiv.), toluene (0.4 M), CuI (95.2 mg, 5 mol%), K₃PO₄ (4.24 g, 2.0 equiv.), 2-bromopyridine (1.89 g, 1.2 equiv.), and DMEDA (88.1 mg, 0.1 equiv.). Under an argon atmosphere, the mixture was placed in an oil bath at 120 °C and stirred for 24 h. After the reaction was completed, the mixture was cooled to room temperature, and an ethylenediamine solution (2 mL) was added to quench the reaction. The mixture was extracted with dichloromethane (15 mL × 3), the organic phases were combined and dried over anhydrous sodium sulfate, and then concentrated under reduced pressure. The residue was purified by silica gel column chromatography (eluent: dichloromethane/ethyl acetate = 1:1) to provide **1a-1za, 1zc** (57-90% yield).

Preparation of the Substrates **1zb**^[2]



In a flame-dried sealed tube containing pyridin-2(1H)-one (2 mmol, 1.0 equiv.) in dry DMF, CuI (38.1 mg, 10 mol%) was added. To the resulting solution, anhydrous K₂CO₃ (552mg, 2.0 equiv.) and corresponding aryl iodide (1.5 equiv.) was added. The reaction mixture was then heated to 140 °C in an oil bath. After 24 hours, the reaction mixture was cooled to room temperature, quenched with water, and extracted with ethyl acetate (three times). The combined organic layers were washed with brine, dried with anhydrous Na₂SO₄, and concentrated in vacuo. The crude residue was purified by silica gel column chromatography (eluent: dichloromethane/ethyl acetate = 1:1) to provide **1zb** (72% yield).

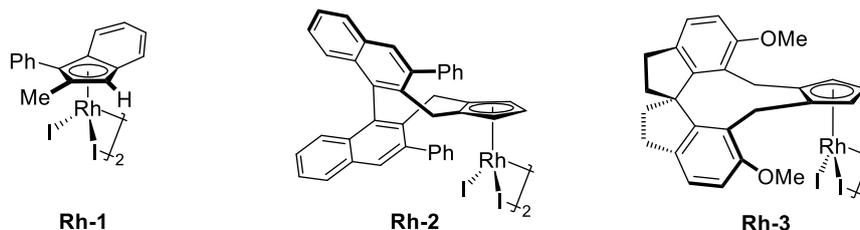
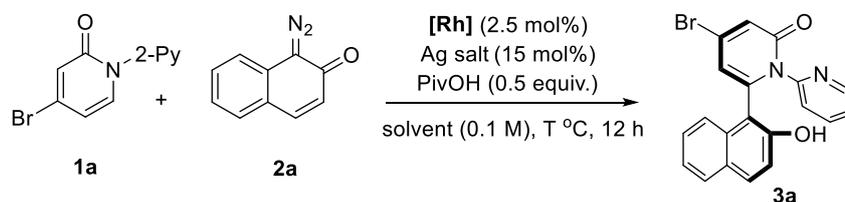
General procedure for the synthesis of 1-Diazonaphthoquinones^[3]



To a solution of 2-chloro-1,3-dimethylimidazoliumchloride (15 mmol, 1.5 equiv.) in acetonitrile (0.5 M), potassium azide (1.46 g, 18 mmol, 1.8 equiv.) was added at -20 °C and the mixture was stirred for 30 min. A mixture of 2-naphthol (1.4 g, 10 mmol, 1.0 equiv.) and triethylamine (2.5 mL, 18 mmol, 1.8 equiv.) in THF (0.25 M) was added to the reaction mixture and was stirred for 20 min. The reaction was quenched with water and organic parts were extracted with CH₂Cl₂ (3 x 15 mL). The combined extracts were washed with water and brine, and then dried over anhydrous Na₂SO₄. The solvent was removed in vacuo to afford crude compounds. The crude materials were purified by flash column chromatography (eluent: Petroleum ether/Ethyl acetate = 3:1) to give pure **2a-2r** (30%-60% yield).

3. Optimization of Reaction Conditions

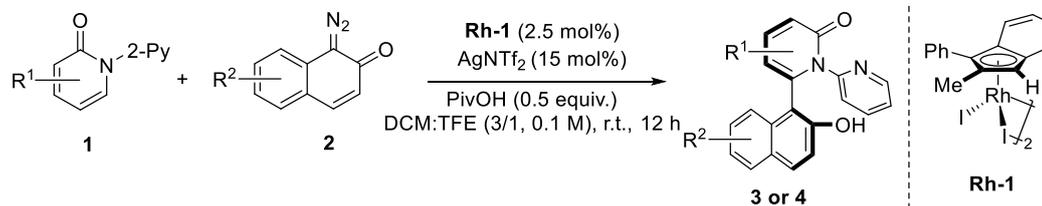
Table S1. Optimization studies^a



Entry ^a	[Rh]	Ag salt	T (°C)	solvent	Yield (%)	ee (%)
1	Rh-1	AgSbF ₆	45	DCM	40	91
2	Rh-2	AgSbF ₆	45	DCM	12	30
3	Rh-3	AgSbF ₆	45	DCM	15	40
4	Rh-1	AgNTf ₂	45	DCM	65	91
5	Rh-1	AgBF ₄	45	DCM	13	92
6	Rh-1	AgOTf	45	DCM	trace	--
7	Rh-1	AgNTf ₂	r.t.	DCM	45	96
8	Rh-1	AgNTf ₂	r.t.	1,4-Dioxane	trace	--
9	Rh-1	AgNTf ₂	r.t.	MeOH	7	96
10	Rh-1	AgNTf ₂	r.t.	HFIP	30	86
11	Rh-1	AgNTf ₂	r.t.	TFE	99	80
12	Rh-1	AgNTf ₂	r.t.	DCM/TFE (1/1)	93	96
13	Rh-1	AgNTf ₂	r.t.	DCM/TFE (3/1)	96	96
14	Rh-1	AgNTf ₂	r.t.	DCM/TFE (5/1)	87	96

^aReaction conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), **[Rh]** (2.5 mol%), Ag salt (15 mol%), PivOH (0.5 equiv.), Solvent (0.1 M), Temp., 12 h. Isolated yield. ^bDetermined by HPLC analysis on a chiral stationary phase.

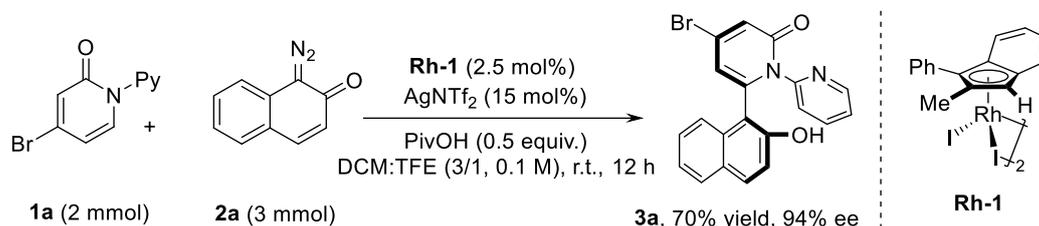
4. General Procedure for Rh(III)-Catalyzed 2-Pyridones Using 1-Diazonaphthoquinones



A 10 mL Schlenk tube equipped with a stir bar was charged with **1** (0.1 mmol, 1.0 equiv.), **2** (0.15 mmol, 1.5 equiv.), **Rh 1** (2.8 mg, 0.0025 mmol), AgNTf_2 (5.8 mg, 0.015 mmol, 0.15 equiv.), PivOH (5.0 mg, 0.05 mmol, 0.5 equiv.), DCM:TFE (3/1) (1.0 mL). The reaction mixture was stirred at room temperature for 12 h. After that, the reaction mixture was filtered through a pad of celite and evaporated under reduced pressure. The residue was purified by silica gel flash chromatography (Dichloromethane/Methanol = 98:2) to give the desired product **3 or 4**.

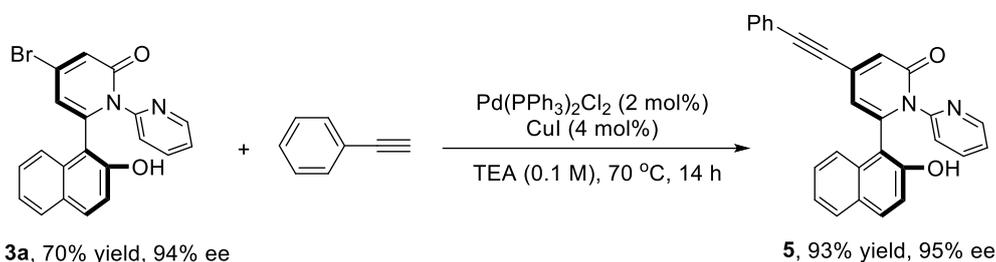
5. Synthetic Application

5.1 Scale-up Synthesis of 3a



A 50 mL Schlenk tube equipped with a stir bar was charged with **1a** (500 mg, 2 mmol, 1.0 equiv.), **2a** (510 mg, 3 mmol, 1.5 equiv.), **Rh-1** (56 mg, 0.05 mmol, 2.5 mol%), AgNTf₂ (116.4 mg, 0.15 mmol, 15 mol%), PivOH (104.1 mg, 0.5 mmol, 0.5 equiv.), DCM:TFE (3/1) (20.0 mL). The reaction mixture was stirred at room temperature for 12 hour. After that, the reaction mixture was filtered through a pad of celite and evaporated under reduced pressure. The residue was purified by silica gel flash chromatography (Dichloromethane/ Methanol = 98:2) to give the desired product **3a** (725.3 mg, 70% yield, 94% ee).

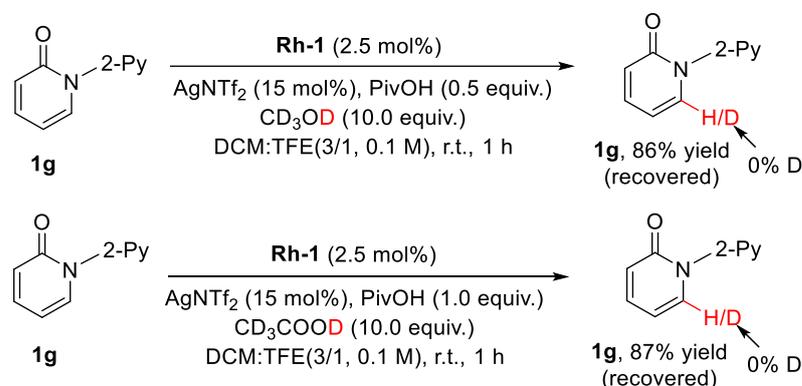
5.2 Synthetic Applications



Under nitrogen atmosphere^[4], to a solution of the corresponding **3a** (78.4 mg, 0.2 mmol, 1.0 equiv.) in anhydrous TEA (0.1 M) were added Pd(PPh₃)₂Cl₂ (2.8 mg, 0.004 mmol, 0.02 equiv.), CuI (1.5 mg, 0.008 mmol, 0.04 equiv.) and Phenylacetylene (40.8 mg, 0.4 mmol, 2.0 equiv.) The mixture was stirred at 70 °C. After completion of the reaction (TLC) and quenched with NH₄Cl aq. (5.0 mL). The aqueous layer was extracted with ethyl acetate (3 × 10.0 mL). The combined organic layers were dried over Na₂SO₄, filtered and concentrated under reduced pressure. The resulting crude material was purified by flash column chromatography (Dichloromethane/Methanol = 99:1) to afford the desired compound **5** as a yellow solid (77.1 mg, 93% yield, 95% ee, m.p. 213-218 °C). ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.12 (s, 1H), 8.01 (s, 1H), 7.68 – 7.60 (m, 5H), 7.57 (d, *J* = 8.5 Hz, 1H), 7.50 – 7.39 (m, 5H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.08 (dd, *J* = 7.5, 4.8 Hz, 1H), 6.97 (d, *J* = 9.0 Hz, 1H), 6.78 (d, *J* = 1.7 Hz, 1H), 6.34 (d, *J* = 1.6 Hz, 1H). ¹³C

6. Mechanistic Studies

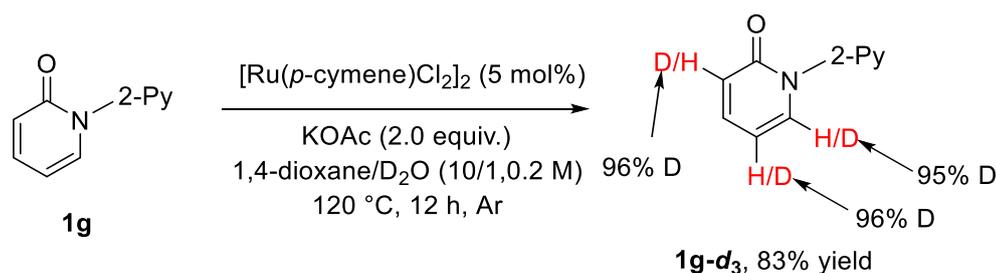
6.1 H/D exchange experiments



To a reaction tube equipped with a stir bar were added **1g** (17.2 mg, 0.1 mmol, 1.0 equiv.), **Rh-1** (2.8 mg, 0.0005 mmol), AgNTf_2 (5.8 mg, 0.15 mmol, 1.5 equiv.), PivOH (5.0 mg, 0.05 mmol, 0.5 equiv.), DCM:TFE (3/1) (1.0 mL), CD_3OD (40.6 μL , 1 mmol, 10.0 equiv.) or CD_3COOD (62.3 μL , 1 mmol, 10.0 equiv.). The mixture was stirred at room temperature for 12 hour. Upon completion, the resulting mixture was filtered through a pad of celite and evaporated under reduced pressure. The residue was purified by silica gel chromatography using dichloromethane/ethyl acetate (1:1) as the eluent. ^1H NMR analysis indicated that the C6-H of compound **1g** was not deuterated.

6.2 KIE Experiment

6.2.1 synthesis of **1g-d₃**



According to the modified literature procedure, **1g** (34.4 mg, 0.2 mmol, 1.0 equiv.), $[\text{Ru}(p\text{-cymene})\text{Cl}_2]_2$ (6.1 mg, 0.01 mmol, 5 mol%), KOAc (39.2 mg, 0.4 mmol, 2.0 equiv.), D_2O (0.1 mL) and 1,4-dioxane (1 mL) were successively added to a Schlenk tube^[6]. After the reaction mixture was degassed by a vacuum-argon cycle (3 times), it was stirred and reacted at 120 °C (oil bath temperature) for 12 hours. After the reaction was completed, the mixture was cooled to room temperature, filtered through a pad of celite, the organic phase was concentrated under reduced pressure, and the residue was purified by silica gel column chromatography (dichloromethane/ethyl acetate = 1:1) to obtain the deuterated

product **1g-d₃** (83% yield).

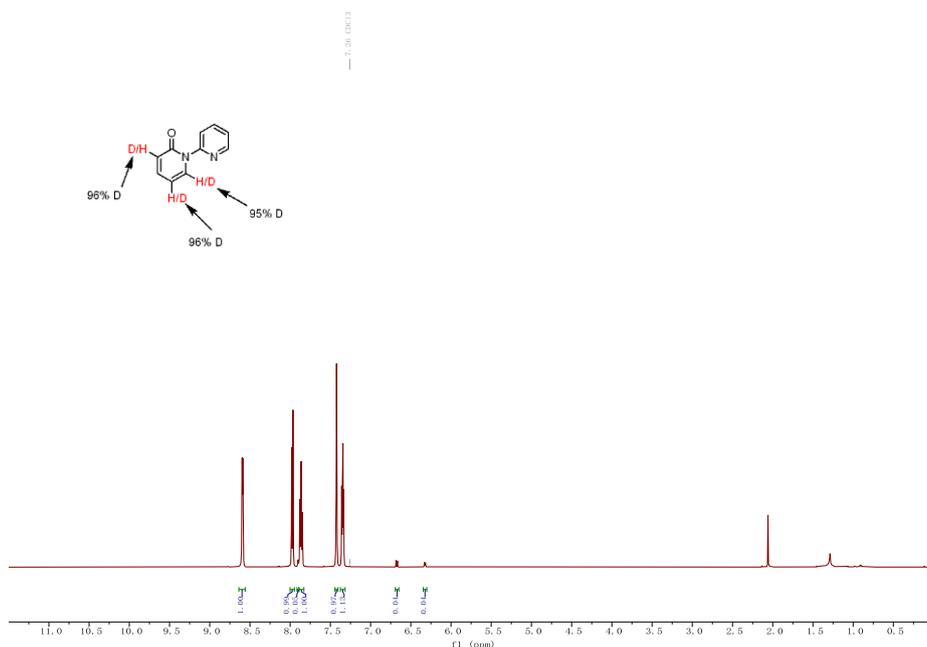
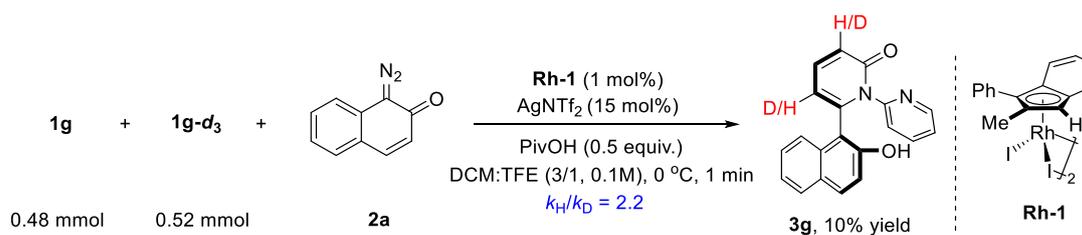


Figure S1. ¹H NMR of **1g-d₃**

6.2.2 Competitive KIE experiment



A 10 mL Schlenk tube equipped with a stir bar was charged with **1g** (0.48 mmol) and of **1g-d₃** (0.52 mmol), **2a** (0.12 mmol, 1.2 equiv.), **Rh-1** (1.1 mg, 0.0001 mmol), AgNTf₂ (5.8 mg, 0.15 mmol, 15 mol%), PivOH (5.0 mg, 0.05 mmol, 0.5 equiv.), DCM:TFE (3/1) (1.0 mL), The reaction mixture was stirred at 0 °C for 1 min. Add 100 mL of ice water to quench the reaction, the aqueous layer was extracted by CH₂Cl₂, and the organic layers were combined and washed with brine, and dried over Na₂SO₄, and concentrated in vacuo. The residue was purified by silica gel chromatography to give the product as a yellow solid and analyzed by ¹H NMR to determine the ratio of **3g**. The calculated KIE was 2.2.

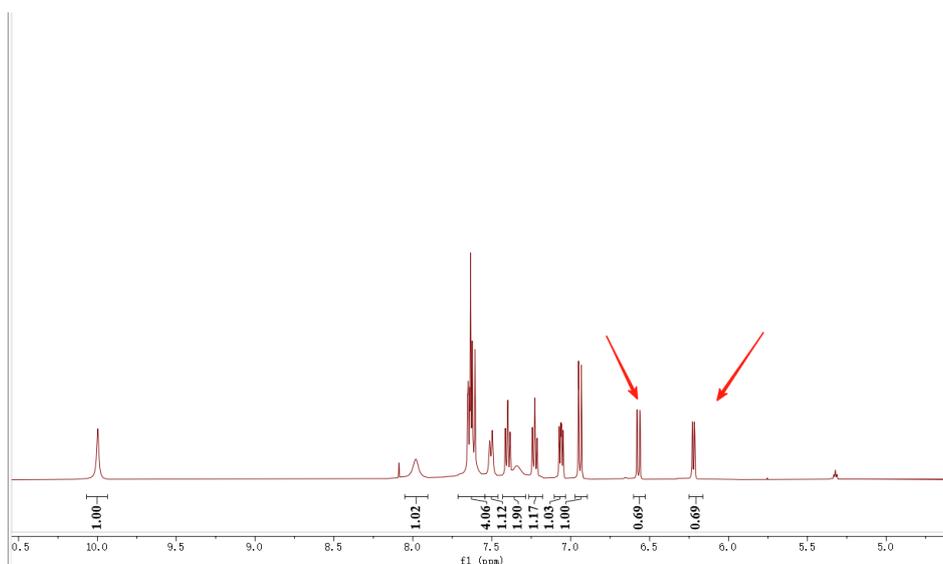
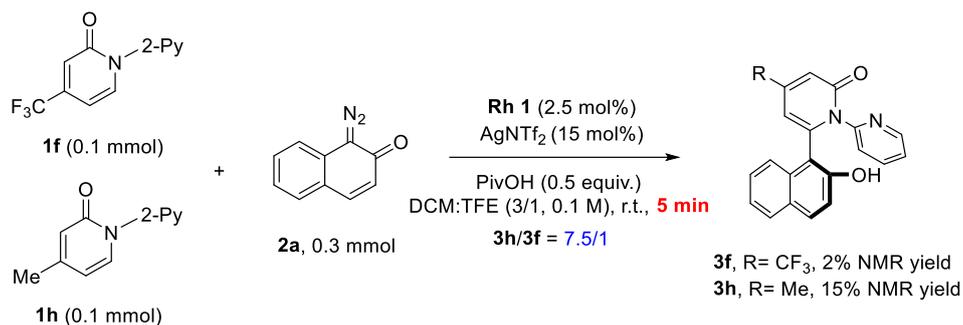


Figure S2. ^1H NMR of KIE experiment

6.3 Competition experiment

a) Competition experiments between **1f** and **1h**



The competition reaction between **1f** and **1h** was run under standard conditions. Products **3h** and **3f** were obtained in the ratio of 7.5:1, which suggested that the 2-pyridones substrate bearing an electron-donating group was more favorable to react with **2a**.

Method: A 10 mL Schlenk tube equipped with a stir bar was charged with **1f** (0.1 mmol, 1.0 equiv.), **1h** (0.1 mmol, 1.0 equiv.), **2a** (0.3 mmol, 3.0 equiv.), **Rh 1** (2.8 mg, 0.0025 mmol), AgNTf₂ (5.8 mg, 0.015 mmol, 0.15 equiv.), PivOH (5.0 mg, 0.05 mmol, 0.5 equiv.), DCM:TFE (3/1) (1.0 mL). The reaction mixture was stirred at room temperature for 5 min. After that, the reaction mixture was filtered through a pad of celite and evaporated under reduced pressure. The mixture was analyzed by ^1H NMR using mesitylene as an internal standard (**3h:3f** = 7.5, as shown in **Figure S3**).

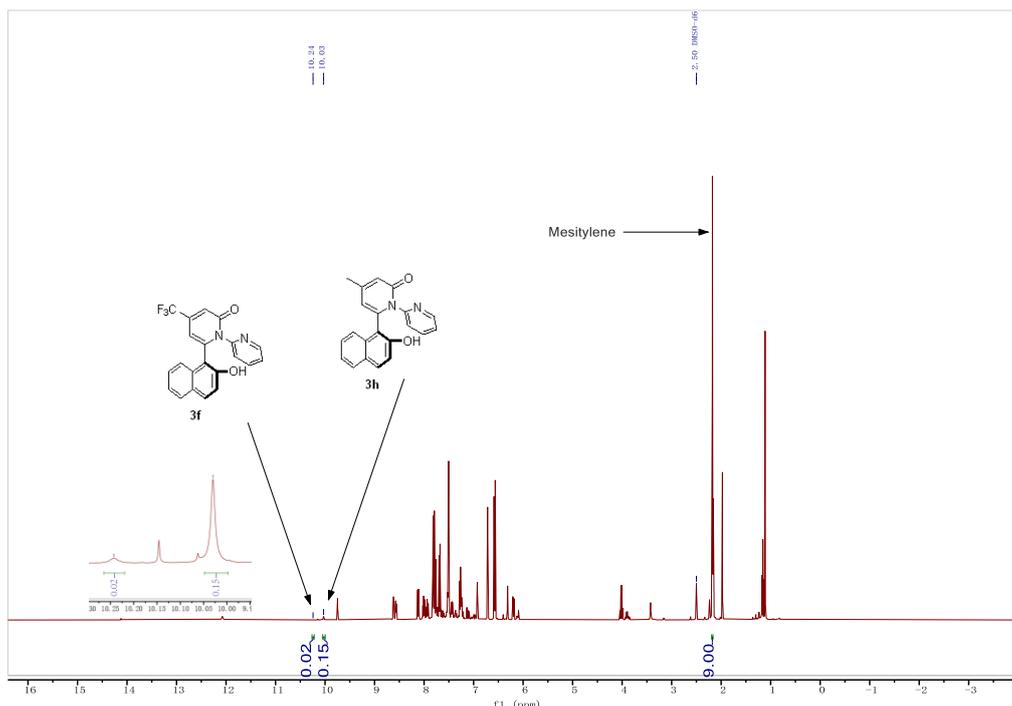
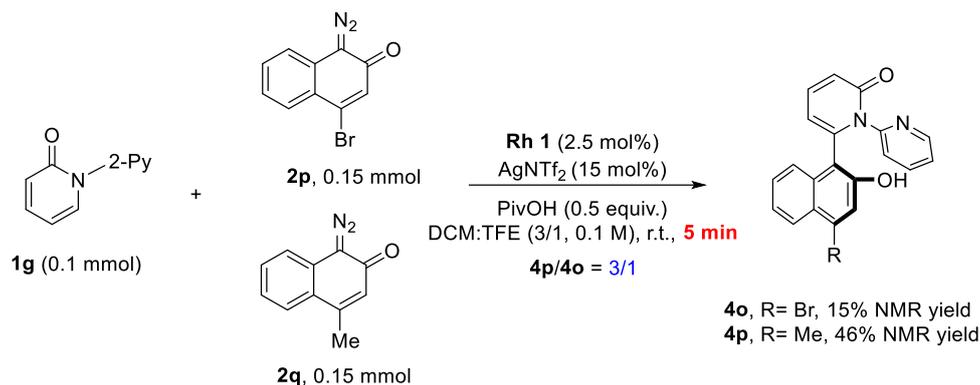


Figure S3. ^1H NMR spectrum of the mixture of **3f** and **3h**

b) Competition experiments between **2p** and **2q**



The competition reaction between **2p** and **2q** was run under standard conditions. Products **4p** and **4o** were obtained in the ratio of 3:1, which suggested that the diazo substrate bearing an electron-donating group was more favorable to react with **1g**.

Method: A 10 mL Schlenk tube equipped with a stir bar was charged with **1g** (0.1 mmol, 1.0 equiv.), **2p** (0.15 mmol, 1.5 equiv.), **2q** (0.15 mmol, 1.5 equiv.), **Rh 1** (2.8 mg, 0.0025 mmol), AgNTf_2 (5.8 mg, 0.015 mmol, 0.15 equiv.), PivOH (5.0 mg, 0.05 mmol, 0.5 equiv.), DCM:TFE (3/1) (1.0 mL). The reaction mixture was stirred at room temperature for 5 min. After that, the reaction mixture was filtered through a pad of celite and evaporated under reduced pressure. The mixture was analyzed by ^1H NMR using mesitylene as an internal standard ($\text{4p:4o} = 3$, as shown in **Figure S4**).

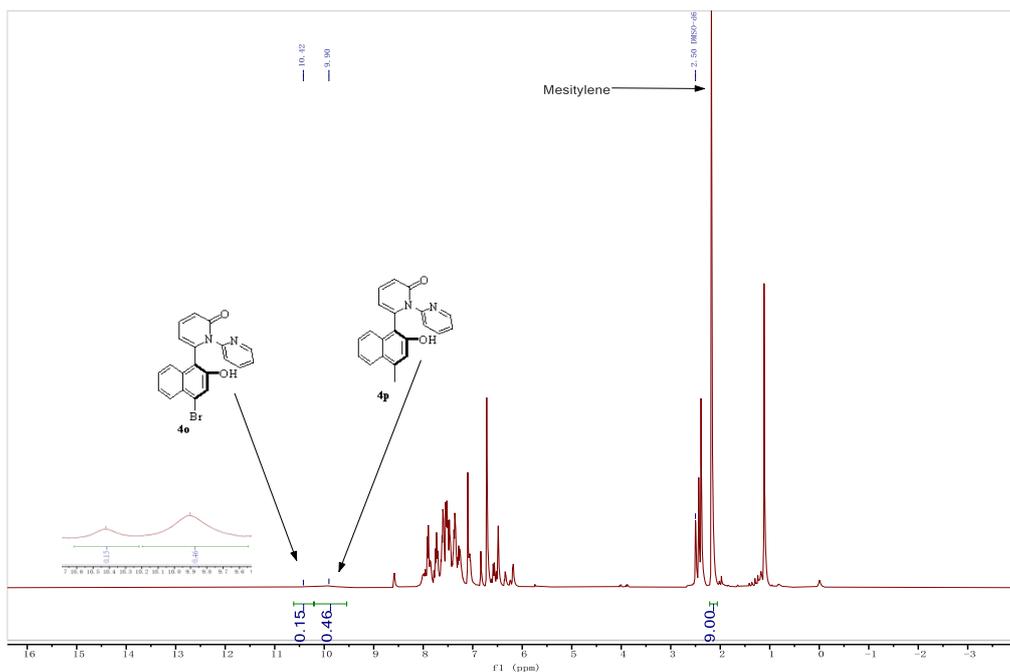
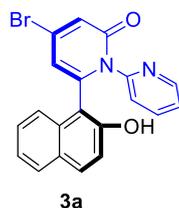


Figure S4. ^1H NMR spectrum of the mixture of **4o** and **4p**

6.4 Thermal racemization studies and enantiomerization barrier determination

The enantiomerization barrier, corresponding to the barrier to the rotation for the following atropisomers, was obtained by kinetic of racemisation of an enantiomer^[7]. The slope of the first-order kinetic line gives the racemisation constant ($k_{\text{racemization}} = 2 \times k_{\text{enantiomerization}}$). According to the Eyring equation, the enantiomerization barrier ($\Delta G^\ddagger_{\text{enantiomerization}}$) can be obtained from enantiomerization constant ($k_{\text{enantiomerization}}$), $R = 8.31451 \text{ J.K}^{-1} \cdot \text{mol}^{-1}$, $h = 6.62608 \times 10^{-34} \text{ J.s}$ and $k_B = 1.38066 \times 10^{-23} \text{ J.K}^{-1}$.

$$\Delta G^\ddagger_{\text{enantiomerization}} = R \times T \times \ln(T \times k_B / h \times k_{\text{enantiomerization}})$$



A solution of **3a** (5 mg) in isopropyl alcohol (1 mL) respectively was heated at **50 °C** or **100 °C**. The e.e. value was determined by chiral HPLC analysis at different intervals.

Table S2 Measurement of racemization of **3a** in *i*PrOH at **50 °C**.

t (s)	0	3600	7200	14400	28800	43200
e.e.	92	92	92	91.4	91.4	91.2
Ln (e.e. ₀ /e.e. _t)	0	0	0	0.011	0.011	0.011

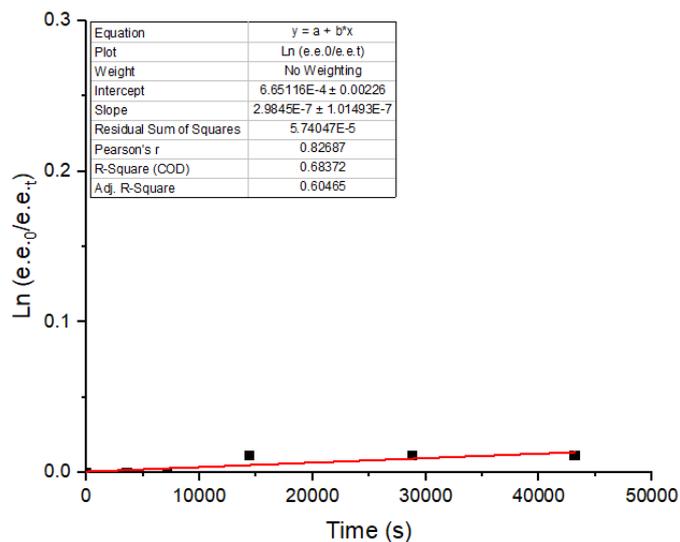


Figure S5 The plot of $\ln(e.e._0/e.e._t)$ vs time of **3a** at 50°C

$$k_{\text{racemization}} (323.15 \text{ K}) = 2.9845 \times 10^{-7} \text{ s}^{-1}$$

$$k_{\text{enantiomerization}} (323.15 \text{ K}) = 1.4922 \times 10^{-7} \text{ s}^{-1}$$

$$t_{1/2}^{\text{rac}} (323.15 \text{ K}) = \ln(2)/k_{\text{racemization}} = 2.32 \times 10^6 \text{ s} = 645.1 \text{ h}$$

Employing the Eyring equation:

$$\Delta G^{\ddagger}_{\text{enantiomerization}} (323.15 \text{ K}) = R \times T \times \ln (T \times k_B / h \times k_{\text{enantiomerization}})$$

$$\Delta G^{\ddagger}_{\text{enantiomerization}} (323.15 \text{ K}) = 8.31451 \text{ J}\cdot\text{mol}^{-1}\cdot\text{K}^{-1} \times 323.15 \text{ K} \times \ln(1.38066 \times 10^{-23} \text{ J}\cdot\text{K}^{-1} \times 323.15 \text{ K} / 1.4922 \times 10^{-7} \text{ s}^{-1} \times 6.62608 \times 10^{-34} \text{ J}\cdot\text{s})$$

$$\Delta G^{\ddagger}_{\text{enantiomerization}} (323.15 \text{ K}) = 121.60 \text{ KJ}\cdot\text{mol}^{-1} = 29.09 \text{ kcal}\cdot\text{mol}^{-1}$$

Table S3 Measurement of racemization of **3a** in ⁱPrOH at 100 °C.

t (s)	0	4200	7200	14400	28800	43200
e.e.	92	89	86	82	79	71.5
$\ln(e.e._0/e.e._t)$	0	0.03315	0.06744	0.1151	0.1523	0.2521

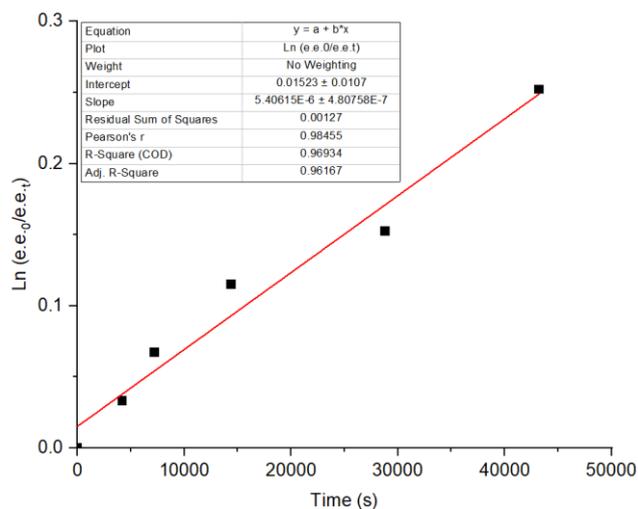


Figure S6 The plot of $\ln(e.e.₀/e.e.ₜ)$ vs time of **3a** at 100 °C

$$k_{\text{racemization}} (373.15 \text{ K}) = 5.4062 \times 10^{-6} \text{ s}^{-1}$$

$$k_{\text{enantiomerization}} (373.15 \text{ K}) = 2.7031 \times 10^{-6} \text{ s}^{-1}$$

$$t_{1/2}^{\text{rac}} (373.15 \text{ K}) = \ln(2)/k_{\text{racemization}} = 1.28 \times 10^5 \text{ s} = 35.6 \text{ h}$$

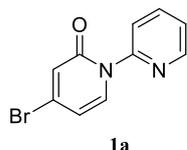
Employing the Eyring equation:

$$\Delta G^{\ddagger}_{\text{enantiomerization}} (373.15 \text{ K}) = R \times T \times \ln \left(\frac{T \times k_B}{h \times k_{\text{enantiomerization}}} \right)$$

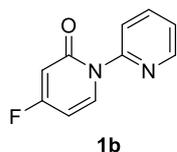
$$\Delta G^{\ddagger}_{\text{enantiomerization}} (373.15 \text{ K}) = 8.31451 \text{ J} \cdot \text{mol}^{-1} \cdot \text{K}^{-1} \times 373.15 \text{ K} \times \ln(1.38066 \times 10^{-23} \text{ J} \cdot \text{K}^{-1} \times 373.15 \text{ K} / 2.7031 \times 10^{-6} \text{ s}^{-1} \times 6.62608 \times 10^{-34} \text{ J} \cdot \text{s})$$

$$\Delta G^{\ddagger}_{\text{enantiomerization}} (373.15 \text{ K}) = 131.87 \text{ KJ} \cdot \text{mol}^{-1} = 31.52 \text{ kcal} \cdot \text{mol}^{-1}$$

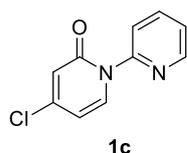
7. Characterization of Starting Materials



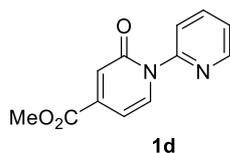
4-bromo-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1a): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.54 (d, $J = 4.8$ Hz, 1H), 7.91 (d, $J = 8.0$ Hz, 1H), 7.87 – 7.73 (m, 2H), 7.37 – 7.28 (m, 1H), 6.89 (d, $J = 1.9$ Hz, 1H), 6.44 (dd, $J = 7.6, 1.9$ Hz, 1H).



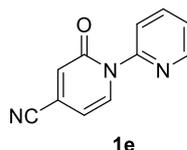
4-fluoro-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1b): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.56 (d, $J = 4.2$ Hz, 1H), 8.09 – 7.88 (m, 2H), 7.84 (td, $J = 8.2, 7.7, 1.9$ Hz, 1H), 7.38 – 7.27 (m, 1H), 6.33 – 6.12 (m, 2H). $^{19}\text{F NMR}$ (376 MHz, Chloroform-*d*) δ -95.43 (dt, $J = 10.9, 6.9$ Hz).



4-chloro-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1c): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.55 (dd, $J = 4.9, 1.0$ Hz, 1H), 7.97 – 7.79 (m, 3H), 7.33 (ddd, $J = 7.4, 4.9, 1.1$ Hz, 1H), 6.69 (d, $J = 2.3$ Hz, 1H), 6.33 (dd, $J = 7.6, 2.3$ Hz, 1H).

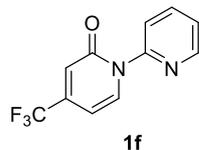


methyl 2-oxo-1 λ^4 ,2 λ^5 -[1,2'-bipyridine]-4-carboxylate (1d): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.58 (s, 1H), 7.97 (dd, $J = 7.7, 4.3$ Hz, 2H), 7.85 (t, $J = 7.5$ Hz, 1H), 7.39 – 7.30 (m, 1H), 7.27 (d, $J = 7.5$ Hz, 1H), 6.84 – 6.70 (m, 1H), 3.93 (s, 3H).

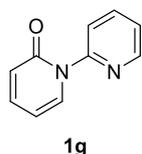


2-oxo-1 λ^4 ,2 λ^5 -[1,2'-bipyridine]-4-carbonitrile (1e): white solid, m.p. 147-153 °C, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.58 (d, $J = 3.4$ Hz, 1H), 8.07 (d, $J = 7.3$ Hz, 1H), 7.96 (d, $J = 8.2$ Hz, 1H), 7.87 (td, $J = 7.8, 1.9$ Hz, 1H), 7.37 (dd, $J = 7.4, 4.8$ Hz, 1H), 6.99 (d, $J = 1.8$ Hz, 1H), 6.39 (dd, $J = 7.3, 1.9$ Hz, 1H).

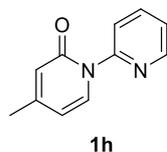
^{13}C NMR (126 MHz, Chloroform-*d*) δ 160.1, 150.7, 149.2, 138.2, 138.1, 128.3, 124.4, 124.0, 121.1, 115.7, 105.5. **HRMS** (APCI) m/z $[\text{M} + \text{H}]^+$: calcd. for $[\text{C}_{11}\text{H}_8\text{N}_3\text{O}]^+$ 198.0662, found 198.0663.



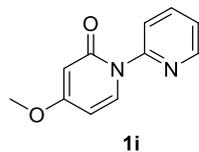
4-(trifluoromethyl)-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1f): white solid, ^1H NMR (400 MHz, Chloroform-*d*) δ 8.57 (dd, $J = 4.4, 1.6$ Hz, 1H), 8.05 (d, $J = 7.4$ Hz, 1H), 7.95 (d, $J = 8.1$ Hz, 1H), 7.85 (td, $J = 7.8, 1.9$ Hz, 1H), 7.39 – 7.31 (m, 1H), 6.97 – 6.85 (m, 1H), 6.41 (dd, $J = 7.4, 2.0$ Hz, 1H). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -67.01.



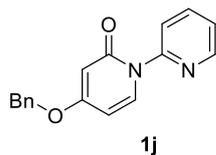
1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1g): white solid, ^1H NMR (400 MHz, Chloroform-*d*) δ 8.62 – 8.48 (m, 1H), 7.93 (dt, $J = 8.2, 1.1$ Hz, 1H), 7.88 – 7.78 (m, 2H), 7.42 – 7.33 (m, 1H), 7.30 (ddd, $J = 7.4, 4.9, 1.1$ Hz, 1H), 6.62 (dt, $J = 9.3, 1.0$ Hz, 1H), 6.27 (td, $J = 6.8, 1.4$ Hz, 1H).



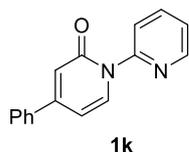
4-methyl-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1h): white solid, ^1H NMR (400 MHz, Chloroform-*d*) δ 8.54 (dd, $J = 4.9, 1.0$ Hz, 1H), 7.95 (d, $J = 8.1$ Hz, 1H), 7.86 – 7.74 (m, 2H), 7.32 – 7.23 (m, 1H), 6.44 (s, 1H), 6.14 (dd, $J = 7.2, 1.9$ Hz, 1H), 2.22 (s, 3H).



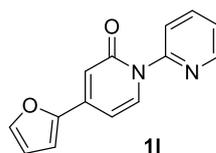
4-methoxy-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1i): white solid, m.p. 127-133 °C, ^1H NMR (400 MHz, Chloroform-*d*) δ 8.53 (dd, $J = 4.9, 1.9$ Hz, 1H), 7.93 (d, $J = 8.2$ Hz, 1H), 7.81 (t, $J = 7.4$ Hz, 2H), 7.33 – 7.23 (m, 1H), 6.04 (dd, $J = 7.9, 2.7$ Hz, 1H), 5.94 (d, $J = 2.7$ Hz, 1H), 3.81 (s, 3H). ^{13}C NMR (126 MHz, Chloroform-*d*) δ 168.6, 163.7, 151.7, 148.8, 137.7, 136.1, 122.9, 121.5, 102.0, 97.5, 55.7. **HRMS** (APCI) m/z $[\text{M} + \text{H}]^+$: calcd. for $[\text{C}_{11}\text{H}_{11}\text{N}_2\text{O}_2]^+$ 203.0815, found 203.0815



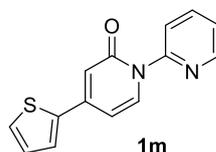
4-(benzyloxy)-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1j): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.53 (d, $J = 3.5$ Hz, 1H), 7.93 (d, $J = 8.2$ Hz, 1H), 7.87 – 7.76 (m, 2H), 7.48 – 7.33 (m, 5H), 7.28 (dd, $J = 7.5, 5.0$ Hz, 1H), 6.11 (dd, $J = 7.9, 2.6$ Hz, 1H), 6.03 (d, $J = 2.6$ Hz, 1H), 5.05 (s, 2H).



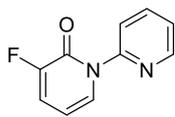
4-phenyl-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1k): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.58 (dd, $J = 5.0, 1.8$ Hz, 1H), 8.01 (dd, $J = 14.7, 7.8$ Hz, 2H), 7.86 (td, $J = 7.8, 1.9$ Hz, 1H), 7.64 (dd, $J = 7.7, 2.0$ Hz, 2H), 7.53 – 7.42 (m, 3H), 7.38 – 7.29 (m, 1H), 6.87 (d, $J = 1.9$ Hz, 1H), 6.60 (dd, $J = 7.4, 2.0$ Hz, 1H).



4-(furan-2-yl)-2H-[1,2'-bipyridin]-2-one (1l): white solid, m.p.157-166 °C, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.56 (d, $J = 3.1$ Hz, 1H), 8.01 (d, $J = 8.2$ Hz, 1H), 7.94 (d, $J = 7.4$ Hz, 1H), 7.83 (td, $J = 7.8, 1.9$ Hz, 1H), 7.57 (d, $J = 1.8$ Hz, 1H), 7.30 (dd, $J = 7.4, 4.9$ Hz, 1H), 6.91 (d, $J = 2.0$ Hz, 1H), 6.88 (d, $J = 3.5$ Hz, 1H), 6.58 (dd, $J = 7.4, 1.9$ Hz, 1H), 6.54 (dd, $J = 3.5, 1.8$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 162.5, 151.8, 150.5, 148.9, 144.9, 140.7, 137.8, 136.1, 123.1, 121.4, 113.6, 112.4, 110.9, 103.0. **HRMS** (APCI) m/z $[\text{M} + \text{H}]^+$: calcd. for $[\text{C}_{14}\text{H}_{11}\text{N}_2\text{O}_2]^+$ 239.0815, found 239.0812.

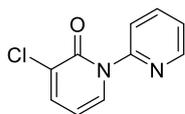


4-(thiophen-2-yl)-2H-[1,2'-bipyridin]-2-one (1m): white solid, m.p.157-163 °C, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.57 (d, $J = 4.8$ Hz, 1H), 8.01 (d, $J = 8.2$ Hz, 1H), 7.95 (d, $J = 7.5$ Hz, 1H), 7.84 (td, $J = 7.8, 1.9$ Hz, 1H), 7.49 (d, $J = 3.7$ Hz, 1H), 7.46 (d, $J = 5.0$ Hz, 1H), 7.31 (dd, $J = 7.4, 4.9$ Hz, 1H), 7.14 (dd, $J = 5.1, 3.6$ Hz, 1H), 6.87 (d, $J = 2.0$ Hz, 1H), 6.58 (dd, $J = 7.5, 2.1$ Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, Chloroform-*d*) δ 162.3, 151.7, 148.9, 145.1, 140.2, 137.9, 136.0, 128.6, 128.5, 126.8, 123.2, 121.4, 115.6, 105.0. **HRMS** (APCI) m/z $[\text{M} + \text{H}]^+$: calcd. for $[\text{C}_{14}\text{H}_{11}\text{N}_2\text{OS}]^+$ 255.0587, found 255.0585.



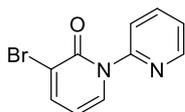
1n

3-fluoro-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1n): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.64 – 8.51 (m, 1H), 7.97 (dd, $J = 8.1, 1.1$ Hz, 1H), 7.86 (td, $J = 7.8, 1.9$ Hz, 1H), 7.73 (dt, $J = 7.2, 1.7$ Hz, 1H), 7.34 (ddd, $J = 7.4, 4.8, 1.1$ Hz, 1H), 7.16 (ddd, $J = 9.3, 7.4, 1.8$ Hz, 1H), 6.22 (td, $J = 7.3, 4.5$ Hz, 1H). $^{19}\text{F NMR}$ (376 MHz, Chloroform-*d*) δ -130.04 (dd, $J = 9.3, 4.5$ Hz).



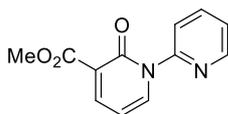
1o

3-chloro-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1o): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.55 (ddd, $J = 4.9, 1.9, 0.9$ Hz, 1H), 7.95 (dt, $J = 8.2, 1.0$ Hz, 1H), 7.85 (ddd, $J = 9.3, 7.2, 1.9$ Hz, 2H), 7.60 (dd, $J = 7.2, 1.9$ Hz, 1H), 7.34 (ddd, $J = 7.4, 4.9, 1.1$ Hz, 1H), 6.27 (t, $J = 7.1$ Hz, 1H).



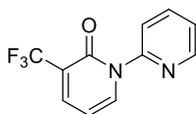
1p

3-bromo-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1p): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.55 (dd, $J = 4.9, 1.9$ Hz, 1H), 7.99 – 7.88 (m, 2H), 7.83 (ddd, $J = 17.8, 7.3, 1.9$ Hz, 2H), 7.33 (ddd, $J = 7.4, 4.9, 1.0$ Hz, 1H), 6.21 (t, $J = 7.1$ Hz, 1H).



1q

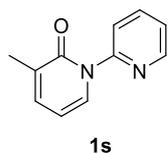
methyl 2-oxo-2H-[1,2'-bipyridine]-3-carboxylate (1q): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.56 (dd, $J = 4.9, 1.9$ Hz, 1H), 8.22 (dd, $J = 7.1, 2.3$ Hz, 1H), 8.11 (dd, $J = 7.0, 2.3$ Hz, 1H), 7.96 (d, $J = 8.2$ Hz, 1H), 7.83 (td, $J = 7.8, 1.9$ Hz, 1H), 7.34 (ddd, $J = 7.4, 4.9, 1.1$ Hz, 1H), 6.38 (t, $J = 7.0$ Hz, 1H), 3.91 (s, 3H).



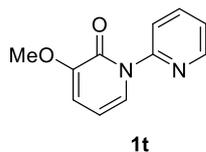
1r

3-(trifluoromethyl)-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1r): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.56 (d, $J = 4.9$ Hz, 1H), 8.11 (d, $J = 7.0$ Hz, 1H), 7.97 (d, $J = 8.2$ Hz, 1H), 7.90 – 7.78 (m, 2H), 7.40

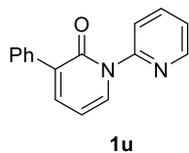
- 7.32 (m, 1H), 6.37 (t, $J = 7.0$ Hz, 1H). ^{19}F NMR (376 MHz, Chloroform- d) δ -65.94.



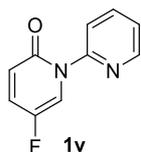
3-methyl-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1s): white solid, ^1H NMR (400 MHz, Chloroform- d) δ 8.60 – 8.44 (m, 1H), 7.90 (d, $J = 8.2$ Hz, 1H), 7.79 (td, $J = 7.8, 1.9$ Hz, 1H), 7.70 (dd, $J = 7.1, 2.0$ Hz, 1H), 7.33 – 7.20 (m, 2H), 6.19 (t, $J = 6.9$ Hz, 1H), 2.16 (s, 3H).



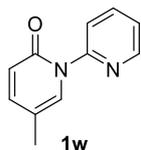
3-methoxy-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1t): white solid, ^1H NMR (400 MHz, Chloroform- d) δ 8.54 (dd, $J = 4.9, 1.9$ Hz, 1H), 7.94 (d, $J = 8.2$ Hz, 1H), 7.82 (td, $J = 7.8, 1.9$ Hz, 1H), 7.50 (dd, $J = 7.2, 1.7$ Hz, 1H), 7.34 – 7.26 (m, 1H), 6.65 (dd, $J = 7.3, 1.7$ Hz, 1H), 6.22 (t, $J = 7.3$ Hz, 1H), 3.84 (s, 3H).



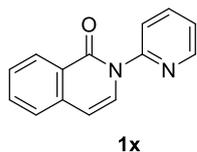
3-phenyl-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1u): white solid, ^1H NMR (400 MHz, Chloroform- d) δ 8.60 (ddd, $J = 4.9, 1.9, 0.9$ Hz, 1H), 7.96 (d, $J = 8.2$ Hz, 1H), 7.89 – 7.80 (m, 2H), 7.73 – 7.65 (m, 2H), 7.56 (dd, $J = 6.9, 2.1$ Hz, 1H), 7.41 (t, $J = 7.4$ Hz, 2H), 7.34 (td, $J = 7.1, 1.3$ Hz, 2H), 6.41 (t, $J = 7.0$ Hz, 1H).



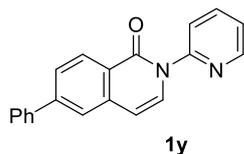
5-fluoro-2H-[1,2'-bipyridin]-2-one (1v): white solid, ^1H NMR (400 MHz, Chloroform- d) δ 8.55 (dd, $J = 4.9, 1.0$ Hz, 1H), 8.06 (d, $J = 8.3$ Hz, 1H), 7.96 (dd, $J = 5.0, 3.3$ Hz, 1H), 7.84 (td, $J = 7.8, 1.9$ Hz, 1H), 7.40 – 7.27 (m, 2H), 6.61 (dd, $J = 10.1, 5.3$ Hz, 1H).



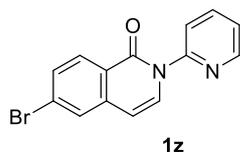
5-methyl-1 λ^4 ,2 λ^5 -[1,2'-bipyridin]-2-one (1w): white solid, ^1H NMR (400 MHz, Chloroform- d) δ 8.36 (ddd, $J = 4.9, 1.9, 0.9$ Hz, 1H), 7.74 (d, $J = 8.2$ Hz, 1H), 7.66 – 7.58 (m, 1H), 7.50 – 7.41 (m, 1H), 7.13 – 7.03 (m, 2H), 6.39 (d, $J = 9.3$ Hz, 1H), 1.93 (s, 3H).



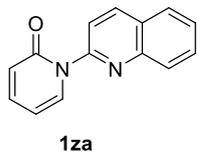
2-(pyridin-2-yl)-1λ⁴,2λ⁵-isoquinolin-1-one (1x): white solid, ¹H NMR (400 MHz, Chloroform-*d*) δ 8.59 (ddd, *J* = 4.9, 1.9, 0.9 Hz, 1H), 8.49 (dd, *J* = 8.1, 1.3 Hz, 1H), 8.00 (d, *J* = 8.1 Hz, 1H), 7.90 – 7.81 (m, 1H), 7.78 (d, *J* = 7.6 Hz, 1H), 7.71 – 7.64 (m, 1H), 7.60 – 7.48 (m, 2H), 7.30 (ddd, *J* = 7.4, 4.9, 1.0 Hz, 1H), 6.63 (d, *J* = 7.6 Hz, 1H).



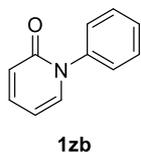
6-phenyl-2-(pyridin-2-yl)isoquinolin-1(2H)-one (1y): white solid, ¹H NMR (500 MHz, Chloroform-*d*) δ 8.60 (d, *J* = 4.9 Hz, 1H), 8.54 (d, *J* = 8.3 Hz, 1H), 8.03 (d, *J* = 8.2 Hz, 1H), 7.91 – 7.79 (m, 2H), 7.78 – 7.61 (m, 4H), 7.50 (t, *J* = 7.5 Hz, 2H), 7.42 (t, *J* = 7.4 Hz, 1H), 7.30 (t, *J* = 6.2 Hz, 1H), 6.67 (d, *J* = 7.6 Hz, 1H).



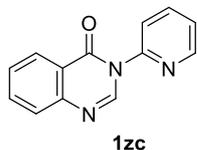
6-bromo-2-(pyridin-2-yl)isoquinolin-1(2H)-one (1z): white solid, ¹H NMR (500 MHz, Chloroform-*d*) δ 8.58 (dd, *J* = 5.0, 1.9 Hz, 1H), 8.33 (d, *J* = 8.6 Hz, 1H), 7.98 (d, *J* = 8.2 Hz, 1H), 7.85 (td, *J* = 7.9, 1.9 Hz, 1H), 7.81 (d, *J* = 7.8 Hz, 1H), 7.71 (d, *J* = 1.9 Hz, 1H), 7.60 (dd, *J* = 8.6, 1.9 Hz, 1H), 7.32 (ddd, *J* = 7.3, 4.9, 1.1 Hz, 1H), 6.53 (d, *J* = 7.7 Hz, 1H).



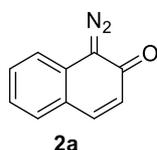
1-(quinolin-2-yl)pyridin-2(1H)-one (1za): white solid, ¹H NMR (500 MHz, Chloroform-*d*) δ 8.26 (d, *J* = 8.7 Hz, 1H), 8.07 (d, *J* = 8.5 Hz, 1H), 8.00 (dd, *J* = 11.1, 7.9 Hz, 2H), 7.88 (d, *J* = 8.1 Hz, 1H), 7.74 (t, *J* = 7.7 Hz, 1H), 7.59 (t, *J* = 7.5 Hz, 1H), 7.46 – 7.39 (m, 1H), 6.68 (d, *J* = 9.2 Hz, 1H), 6.35 (t, *J* = 6.8 Hz, 1H).



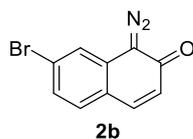
1-phenyl-1 λ^4 ,2 λ^5 -pyridin-2-one (1zb): white solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.49 (td, $J = 7.9, 7.5, 1.7$ Hz, 2H), 7.40 (ddd, $J = 18.1, 7.9, 1.4$ Hz, 4H), 7.35 – 7.29 (m, 1H), 6.66 (d, $J = 9.3$ Hz, 1H), 6.23 (t, $J = 6.7$ Hz, 1H).



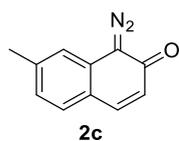
3-(pyridin-2-yl)quinazolin-4(3H)-one (1zc): yellow solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.67 – 8.54 (m, 2H), 8.36 (dd, $J = 7.9, 1.5$ Hz, 1H), 7.92 – 7.84 (m, 2H), 7.82 – 7.72 (m, 2H), 7.53 (ddd, $J = 8.2, 6.5, 1.8$ Hz, 1H), 7.41 – 7.33 (m, 1H).



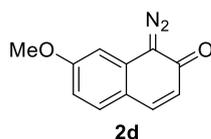
1-diazonaphthalen-2(1H)-one (2a): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.63 (d, $J = 9.8$ Hz, 1H), 7.58 (d, $J = 8.2$ Hz, 1H), 7.52 (t, $J = 7.6$ Hz, 1H), 7.32 – 7.23 (m, 2H), 6.66 (d, $J = 9.8$ Hz, 1H).



7-bromo-1-diazonaphthalen-2(1H)-one (2b): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.58 (d, $J = 9.8$ Hz, 1H), 7.45 – 7.39 (m, 2H), 7.37 (dd, $J = 8.4, 1.7$ Hz, 1H), 6.66 (d, $J = 9.8$ Hz, 1H).



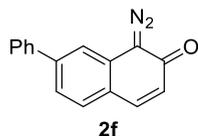
1-diazo-7-methylnaphthalen-2(1H)-one (2c): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.58 (d, $J = 9.8$ Hz, 1H), 7.45 (d, $J = 7.9$ Hz, 1H), 7.12 – 7.01 (m, 2H), 6.58 (d, $J = 9.8$ Hz, 1H), 2.45 (s, 3H).



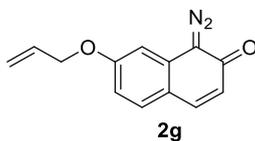
1-diazo-7-methoxynaphthalen-2(1H)-one (2d): brown solid, $^1\text{H NMR}$ (500 MHz, Chloroform-*d*) δ 7.50 (d, $J = 9.7$ Hz, 1H), 7.42 (d, $J = 8.7$ Hz, 1H), 6.79 (dd, $J = 8.6, 2.4$ Hz, 1H), 6.62 (s, 1H), 6.45 (d, $J = 9.8$ Hz, 1H), 3.85 (s, 3H).



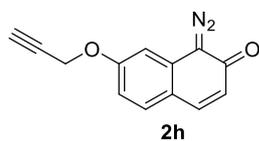
7-(benzyloxy)-1-diazonaphthalen-2(1H)-one (2e): brown solid, $^1\text{H NMR}$ (500 MHz, Chloroform-*d*) δ 7.54 (dd, $J = 9.7, 1.2$ Hz, 1H), 7.49 – 7.33 (m, 6H), 6.90 (dd, $J = 8.6, 2.3$ Hz, 1H), 6.79 – 6.73 (m, 1H), 6.50 (dd, $J = 9.8, 1.0$ Hz, 1H), 5.14 (s, 2H).



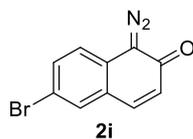
1-diazo-7-phenylnaphthalen-2(1H)-one (2f): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.63 (dd, $J = 10.2, 8.3$ Hz, 4H), 7.52 – 7.45 (m, 3H), 7.44 – 7.35 (m, 2H), 6.65 (d, $J = 9.7$ Hz, 1H).



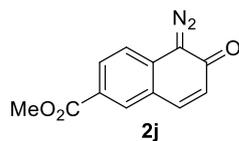
7-(allyloxy)-1-diazonaphthalen-2(1H)-one (2g): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.52 (d, $J = 9.7$ Hz, 1H), 7.45 (d, $J = 8.6$ Hz, 1H), 6.83 (dd, $J = 8.6, 2.4$ Hz, 1H), 6.68 (d, $J = 2.3$ Hz, 1H), 6.48 (d, $J = 9.7$ Hz, 1H), 6.14 – 5.95 (m, 1H), 5.43 (dd, $J = 17.2, 1.7$ Hz, 1H), 5.32 (dd, $J = 10.5, 1.5$ Hz, 1H), 4.60 (d, $J = 5.3$ Hz, 2H).



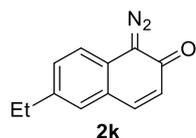
diazo-7-(prop-2-yn-1-yloxy)naphthalen-2(1H)-one (2h): brown solid, $^1\text{H NMR}$ (500 MHz, Chloroform-*d*) δ 7.56 (d, $J = 9.7$ Hz, 1H), 7.49 (d, $J = 8.7$ Hz, 1H), 6.90 (dd, $J = 8.6, 2.4$ Hz, 1H), 6.80 (d, $J = 2.4$ Hz, 1H), 6.52 (d, $J = 9.7$ Hz, 1H), 4.78 (d, $J = 2.4$ Hz, 2H), 2.58 (s, 1H).



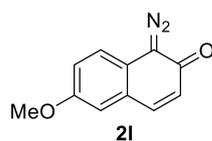
6-bromo-1-diazonaphthalen-2(1H)-one (2i): brown solid, $^1\text{H NMR}$ (500 MHz, Chloroform-*d*) δ 7.70 (t, $J = 1.6$ Hz, 1H), 7.59 (dd, $J = 8.4, 2.0$ Hz, 1H), 7.53 (d, $J = 9.8$ Hz, 1H), 7.14 (d, $J = 8.5$ Hz, 1H), 6.68 (d, $J = 9.8$ Hz, 1H).



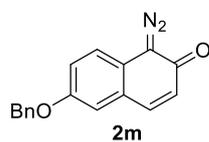
methyl 5-diazo-6-oxo-5,6-dihydronaphthalene-2-carboxylate (2j): brown solid, $^1\text{H NMR}$ (500 MHz, Chloroform-*d*) δ 8.27 (d, $J = 1.7$ Hz, 1H), 8.15 (dd, $J = 8.3, 1.7$ Hz, 1H), 7.70 (d, $J = 9.8$ Hz, 1H), 7.33 (d, $J = 8.3$ Hz, 1H), 6.73 (d, $J = 9.8$ Hz, 1H), 3.96 (s, 3H).



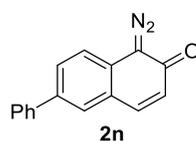
1-diazo-6-ethylnaphthalen-2(1H)-one (2k): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.58 (d, $J = 9.8$ Hz, 1H), 7.41 – 7.32 (m, 2H), 7.17 (d, $J = 8.1$ Hz, 1H), 6.62 (d, $J = 9.7$ Hz, 1H), 2.70 (q, $J = 7.6$ Hz, 2H), 1.26 (t, $J = 7.6$ Hz, 3H).



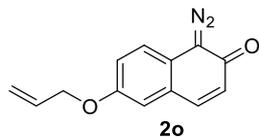
1-diazo-6-methoxynaphthalen-2(1H)-one (2l): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.55 (d, $J = 9.8$ Hz, 1H), 7.20 – 7.09 (m, 2H), 7.05 (d, $J = 2.5$ Hz, 1H), 6.64 (d, $J = 9.8$ Hz, 1H), 3.86 (s, 3H).



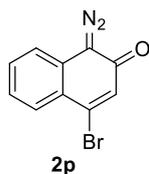
6-(benzyloxy)-1-diazonaphthalen-2(1H)-one (2m): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.57 (d, $J = 9.8$ Hz, 1H), 7.50 – 7.34 (m, 5H), 7.27 – 7.15 (m, 3H), 6.68 (d, $J = 9.8$ Hz, 1H), 5.14 (s, 2H).



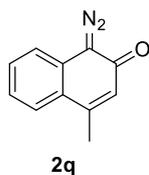
1-diazo-6-phenylnaphthalen-2(1H)-one (2n): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.80 – 7.72 (m, 2H), 7.68 (d, $J = 9.8$ Hz, 1H), 7.64 – 7.58 (m, 2H), 7.51 – 7.44 (m, 2H), 7.42 – 7.36 (m, 1H), 7.32 (d, $J = 8.2$ Hz, 1H), 6.70 (d, $J = 9.8$ Hz, 1H).



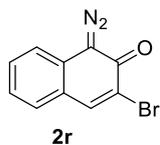
6-(allyloxy)-1-diazonaphthalen-2(1H)-one (2o): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.54 (dd, $J = 9.7, 2.0$ Hz, 1H), 7.22 – 7.12 (m, 2H), 7.07 (d, $J = 2.3$ Hz, 1H), 6.65 (dd, $J = 9.8, 2.1$ Hz, 1H), 6.06 (ddtd, $J = 17.3, 10.5, 5.3, 1.6$ Hz, 1H), 5.43 (dt, $J = 17.3, 1.6$ Hz, 1H), 5.32 (dq, $J = 10.5, 1.5$ Hz, 1H), 4.58 (dq, $J = 5.3, 1.7$ Hz, 2H).



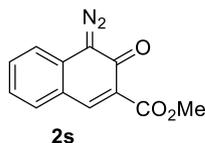
4-bromo-1-diazonaphthalen-2(1H)-one (2p): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.04 (dd, $J = 8.3, 1.2$ Hz, 1H), 7.59 – 7.49 (m, 1H), 7.39 – 7.29 (m, 1H), 7.24 (dd, $J = 8.0, 1.2$ Hz, 1H), 7.12 (s, 1H).



1-diazo-4-methylnaphthalen-2(1H)-one (2q): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 7.75 (dd, $J = 8.2, 1.2$ Hz, 1H), 7.52 (td, $J = 7.6, 1.2$ Hz, 1H), 7.34 – 7.25 (m, 2H), 6.58 (q, $J = 1.2$ Hz, 1H), 2.48 (d, $J = 1.2$ Hz, 3H).

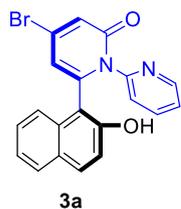


3-bromo-1-diazonaphthalen-2(1H)-one (2r): brown solid, $^1\text{H NMR}$ (400 MHz, Chloroform-*d*) δ 8.09 (s, 1H), 7.60 – 7.49 (m, 2H), 7.36 – 7.18 (m, 2H).



methyl 4-diazo-3-oxo-3,4-dihydronaphthalene-2-carboxylate (2s): brown solid, $^1\text{H NMR}$ (500 MHz, Chloroform-*d*) δ 8.39 (s, 1H), 7.68 (dd, $J = 7.8, 1.3$ Hz, 1H), 7.60 (td, $J = 7.7, 1.3$ Hz, 1H), 7.34 – 7.21 (m, 2H), 3.93 (s, 3H).

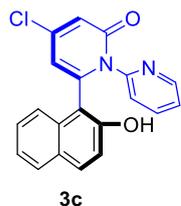
8. Characterization of Products



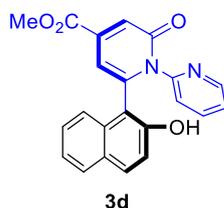
(*S*)-4-bromo-6-(2-hydroxynaphthalen-1-yl)-2*H*-[1,2'-bipyridin]-2-one (**3a**) was obtained as a white solid (37.7 mg, 96% yield, m.p. 235-242 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.17 (s, 1H), 8.00 (s, 1H), 7.71 – 7.50 (m, 4H), 7.43 (ddd, *J* = 8.3, 6.7, 1.3 Hz, 2H), 7.24 (ddd, *J* = 8.0, 6.7, 1.1 Hz, 1H), 7.07 (ddd, *J* = 7.4, 4.8, 1.0 Hz, 1H), 7.00 – 6.91 (m, 2H), 6.51 (d, *J* = 2.1 Hz, 1H). ¹³C NMR (126 MHz, DMSO-*d*₆) δ 161.5, 152.5, 150.7, 148.2, 145.5, 137.4, 136.0, 132.4, 131.1, 127.6, 126.8, 124.1, 123.8, 122.9, 122.8, 121.1, 117.4, 112.6, 112.0. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), *tr* (major) = 12.86 min, *tr* (minor) = 8.89 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₀H₁₄BrN₂O₂]⁺ 393.0233, found 393.0227. **FTIR** (ν_{max}, cm⁻¹): 3419, 2810, 2360, 1720, 1573, 1461, 1352, 1116, 976, 871, 718, 447. [α]_D²⁰ = -2.22 (*c* = 0.1, Chloroform).



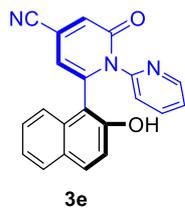
(*S*)-4-fluoro-6-(2-hydroxynaphthalen-1-yl)-2*H*-[1,2'-bipyridin]-2-one (**3b**) was obtained as a white solid (15.6 mg, 47% yield, m.p. 244-251 °C). Eluent: Dichloromethane/ Methanol = 98:2. ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.16 (s, 1H), 7.99 (s, 1H), 7.72 – 7.48 (m, 4H), 7.43 (ddd, *J* = 8.3, 6.7, 1.3 Hz, 2H), 7.28 – 7.19 (m, 1H), 7.11 – 7.03 (m, 1H), 6.95 (d, *J* = 8.9 Hz, 1H), 6.47 – 6.36 (m, 2H). ¹³C NMR (126 MHz, DMSO-*d*₆) δ 171.1, 169.0, 163.9, 163.8, 152.2, 150.6, 148.2, 147.7, 147.6, 137.4, 132.4, 131.1, 127.6, 126.9, 126.8, 124.0, 123.8, 122.97, 122.9, 117.4, 113.3, 112.0, 101.6, 101.4, 100.8, 100.6. ¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -97.39. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 230 nm), *tr* (major) = 11.46 min, *tr* (minor) = 8.76 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₀H₁₄FN₂O₂]⁺ 333.1033, found 333.1032. **FTIR** (ν_{max}, cm⁻¹): 3510, 2813, 2357, 1744, 1610, 1453, 1277, 1116, 957, 778, 541. [α]_D²⁰ = -4.01 (*c* = 0.1, Chloroform).



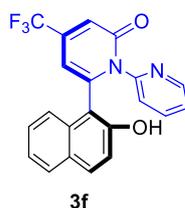
(S)-4-fluoro-6-(2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (3c) was obtained as a white solid (30.1 mg, 86% yield, m.p. 214-221 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.17 (s, 1H), 8.00 (s, 1H), 7.72 – 7.50 (m, 4H), 7.47 – 7.19 (m, 3H), 7.08 (dd, *J* = 7.5, 4.8 Hz, 1H), 6.96 (d, *J* = 8.9 Hz, 1H), 6.79 (d, *J* = 2.2 Hz, 1H), 6.42 (d, *J* = 2.2 Hz, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 161.8, 152.5, 150.7, 148.2, 146.4, 145.9, 137.4, 132.4, 131.1, 127.6, 126.8, 126.8, 124.0, 123.8, 122.9, 122.8, 117.6, 117.4, 113.0, 109.6. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 12.34 min, tr (minor) = 8.58 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₀H₁₄ClN₂O₂]⁺ 349.0738, found 349.0734. **FTIR** (*v*_{max}, cm⁻¹): 3547, 2831, 2359, 1731, 1620, 1442, 1320, 1114, 931, 821, 643. [α]_D²⁰ = -3.42 (c = 0.1, Chloroform).



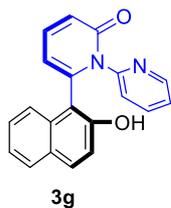
(S)-methyl 6-(2-hydroxynaphthalen-1-yl)-2-oxo-2H-[1,2'-bipyridine]-4-carboxylate (3d) was obtained as a white solid (35.7 mg, 96% yield, m.p. 165-170 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.13 (s, 1H), 8.02 (s, 1H), 7.65 (dd, *J* = 8.6, 4.4 Hz, 3H), 7.52 (d, *J* = 8.5 Hz, 1H), 7.44 – 7.33 (m, 2H), 7.24 (t, *J* = 7.5 Hz, 1H), 7.13 – 7.04 (m, 2H), 6.97 (d, *J* = 8.9 Hz, 1H), 6.53 (d, *J* = 1.9 Hz, 1H), 3.87 (s, 3H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 164.7, 162.5, 152.4, 151.0, 148.2, 145.9, 140.8, 137.5, 132.5, 131.1, 127.6, 126.9, 126.8, 124.1, 123.9, 122.9, 122.7, 120.6, 117.5, 113.6, 106.2, 53.0. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 33.10 min, tr (minor) = 18.94 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₂H₁₇N₂O₄]⁺ 373.1182, found 373.1182. **FTIR** (*v*_{max}, cm⁻¹): 3522, 2715, 2345, 1736, 1647, 1503, 1427, 1278, 1107, 997, 818, 754, 541. [α]_D²⁰ = -2.26 (c = 0.1, Chloroform).



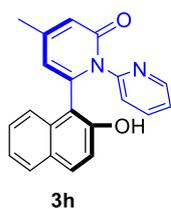
(S)-6-(2-hydroxynaphthalen-1-yl)-2-oxo-2H-[1,2'-bipyridine]-4-carbonitrile (3e) was obtained as a white solid (9.1 mg, 27% yield, m.p. 243-246 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.19 (s, 1H), 8.18 – 7.89 (m, 1H), 7.73 – 7.59 (m, 3H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 2H), 7.32 – 7.20 (m, 2H), 7.09 (dd, *J* = 7.5, 4.8 Hz, 1H), 6.96 (d, *J* = 8.8 Hz, 1H), 6.64 (d, *J* = 1.8 Hz, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 161.3, 152.5, 150.5, 148.3, 147.3, 137.6, 132.4, 131.3, 127.6, 126.9, 126.8, 125.7, 124.1, 123.8, 123.0, 122.6, 117.4, 116.4, 113.0, 107.7. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 27.40 min, tr (minor) = 16.16 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₁H₁₄N₃O₂]⁺ 340.1081, found 340.1080. **FTIR** (*v*_{max}, cm⁻¹): 3512, 2725, 2351, 1726, 1641, 1516, 1436, 1251, 1117, 827, 754, 536. [α]_D²⁰ = -1.73 (c = 0.1, Chloroform).



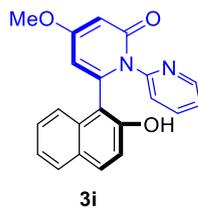
(S)-6-(2-hydroxynaphthalen-1-yl)-4-(trifluoromethyl)-2H-[1,2'-bipyridin]-2-one (3f) was obtained as a white solid (26.7 mg, 70% yield, m.p. 216-223 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (600 MHz, DMSO-*d*₆) δ 10.20 (s, 1H), 8.19 – 7.87 (m, 1H), 7.66 (d, *J* = 8.5 Hz, 3H), 7.52 (d, *J* = 8.4 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 2H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.11 (dd, *J* = 7.5, 4.8 Hz, 1H), 7.04 (d, *J* = 1.6 Hz, 1H), 6.97 (d, *J* = 8.9 Hz, 1H), 6.51 (d, *J* = 2.0 Hz, 1H). **¹³C NMR** (151 MHz, DMSO-*d*₆) δ 162.3, 153.0, 151.1, 148.8, 148.2, 141.2, 141.0, 140.8, 140.6, 138.0, 132.9, 131.8, 128.1, 127.4, 127.3, 125.6, 124.5, 123.8, 123.4, 123.1, 122.0, 120.2, 117.9, 117.6, 117.5, 113.6, 103.5. **¹⁹F NMR** (471 MHz, DMSO-*d*₆) δ -65.20. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 7.59 min, tr (minor) = 5.74 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₁H₁₄F₃N₂O₂]⁺ 383.1002, found 383.1001. **FTIR** (*v*_{max}, cm⁻¹): 3533 2527, 2347, 1744, 1640, 1532, 1251, 1108, 827, 687, 426. [α]_D²⁰ = -1.41 (c = 0.1, Chloroform).



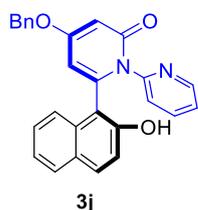
(S)-6-(2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (3g) was obtained as a white solid (28.9 mg, 95% yield, m.p. 269-275 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.02 (s, 1H), 7.98 (s, 1H), 7.74 – 7.46 (m, 5H), 7.45 – 7.30 (m, 2H), 7.23 (t, *J* = 7.8 Hz, 1H), 7.11 – 6.88 (m, 2H), 6.58 (d, *J* = 9.3 Hz, 1H), 6.23 (d, *J* = 6.8 Hz, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 163.3, 152.8, 152.1, 148.5, 145.3, 141.1, 137.6, 133.3, 131.1, 128.0, 127.4, 127.0, 124.8, 124.0, 123.4, 123.3, 119.7, 117.9, 114.7, 108.8. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 27.44 min, tr (minor) = 21.76 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₀H₁₅N₂O₂]⁺ 315.1128, found. 315.1123. **FTIR** (ν_{max}, cm⁻¹): 3512, 2713, 2372, 1741, 1463, 1429, 1371, 1278, 1097, 954, 721, 511, 443. [α]_D²⁰ = -3.12 (c = 0.1, Chloroform).



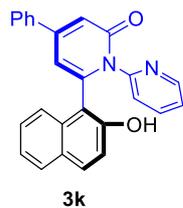
(S)-6-(2-hydroxynaphthalen-1-yl)-2-oxo-2H-[1,2'-bipyridine]-4-carbonitrile (3h) was obtained as a white solid (30.2 mg, 92% yield, m.p. 231-238 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 9.99 (s, 1H), 7.98 (s, 1H), 7.69 – 7.49 (m, 4H), 7.40 (t, *J* = 7.6 Hz, 1H), 7.32 (s, 1H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.04 (dd, *J* = 7.4, 4.8 Hz, 1H), 6.95 (d, *J* = 8.9 Hz, 1H), 6.39 (s, 1H), 6.11 (s, 1H), 2.24 (s, 3H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 162.6, 152.3, 151.6, 151.6, 148.0, 143.5, 137.1, 132.8, 130.6, 127.5, 126.9, 126.5, 124.3, 123.4, 123.0, 122.7, 117.4, 114.2, 110.7, 20.9. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 25.93 min, tr (minor) = 14.21 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₁H₁₇N₂O₃]⁺ 329.1284, found 329.1283. **FTIR** (ν_{max}, cm⁻¹): 3527, 2773, 1740, 1643, 1506, 1431, 1323, 1112, 1091, 975, 781, 682, 421. [α]_D²⁰ = -4.57 (c = 0.1, Chloroform).



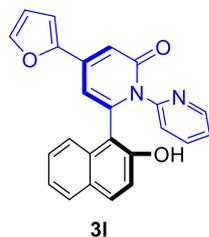
(S)-6-(2-hydroxynaphthalen-1-yl)-4-methoxy-2H-[1,2'-bipyridin]-2-one (3i) was obtained as a white solid (28.5 mg, 83% yield, m.p. 237-244 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.03 (s, 1H), 7.96 (s, 1H), 7.67 – 7.51 (m, 4H), 7.44 – 7.36 (m, 1H), 7.30 (s, 1H), 7.25 – 7.18 (m, 1H), 7.03 (dd, *J* = 7.5, 4.9 Hz, 1H), 6.94 (d, *J* = 8.9 Hz, 1H), 6.00 (d, *J* = 2.6 Hz, 1H), 5.94 (d, *J* = 2.6 Hz, 1H), 3.85 (s, 3H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 167.8, 164.2, 152.3, 151.4, 148.0, 144.6, 137.1, 132.6, 130.7, 127.5, 126.9, 126.6, 124.2, 123.3, 123.3, 122.8, 117.5, 114.0, 102.6, 95.8, 55.7. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 26.72 min, tr (minor) = 17.87 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₁H₁₇N₂O₃]⁺ 329.1284, found 329.1282. **FTIR** (ν_{max}, cm⁻¹): 3510, 2714, 2357, 1723, 1627, 1508, 1433, 1278, 1118, 827, 753, 604. [α]_D²⁰ = -3.52 (c = 0.1, Chloroform).



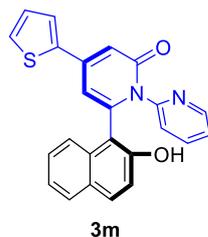
(S)-4-(benzyloxy)-6-(2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (3j) was obtained as a white solid (39.9 mg, 95% yield, m.p. 198-202 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.02 (s, 1H), 7.96 (s, 1H), 7.62 (dd, *J* = 13.1, 8.5 Hz, 3H), 7.55 (d, *J* = 8.4 Hz, 1H), 7.52 – 7.48 (m, 2H), 7.46 – 7.35 (m, 4H), 7.30 (s, 1H), 7.23 (ddd, *J* = 8.0, 6.8, 1.2 Hz, 1H), 7.08 – 7.00 (m, 1H), 6.94 (d, *J* = 8.9 Hz, 1H), 6.10 (d, *J* = 2.6 Hz, 1H), 5.99 (d, *J* = 2.6 Hz, 1H), 5.21 (s, 2H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 166.8, 164.1, 152.3, 151.4, 148.0, 144.7, 137.0, 135.9, 132.6, 130.7, 128.6, 128.2, 128.0, 127.5, 126.9, 126.6, 124.2, 123.3, 123.2, 122.8, 117.4, 114.0, 102.8, 96.9, 69.8. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 38.87 min, tr (minor) = 23.61 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₇H₂₁N₂O₃]⁺ 421.1547, found. 421.1542. **FTIR** (ν_{max}, cm⁻¹): 3513, 2818, 2713, 2344, 1750, 1653, 1508, 1438, 1352, 1252, 1113, 827, 781, 562. [α]_D²⁰ = -1.77 (c = 0.1, Chloroform).



(S)-6-(2-hydroxynaphthalen-1-yl)-4-phenyl-2H-[1,2'-bipyridin]-2-one (3k) was obtained as a white solid (37.8 mg, 97% yield, m.p. 265-272 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.08 (s, 1H), 8.02 (s, 1H), 7.87 – 7.77 (m, 2H), 7.64 (q, *J* = 8.3 Hz, 4H), 7.48 (dd, *J* = 5.1, 2.1 Hz, 3H), 7.41 (t, *J* = 7.7 Hz, 2H), 7.23 (t, *J* = 7.5 Hz, 1H), 7.07 (dd, *J* = 7.4, 4.8 Hz, 1H), 6.99 (d, *J* = 8.8 Hz, 1H), 6.92 (d, *J* = 1.9 Hz, 1H), 6.61 (d, *J* = 2.0 Hz, 1H). ¹³C NMR (126 MHz, DMSO-*d*₆) δ 163.5, 152.9, 151.9, 151.5, 148.6, 145.3, 137.7, 137.0, 133.3, 131.2, 130.2, 129.6, 128.0, 127.5, 127.4, 127.1, 124.8, 124.0, 123.5, 123.3, 118.0, 115.5, 114.8, 107.8. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 47.17 min, tr (minor) = 28.16 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₆H₁₉N₂O₂]⁺ 391.1441, found 391.1438. **FTIR** (ν_{max}, cm⁻¹): 3517, 2802, 2362, 1743, 1642, 1520, 1443, 1320, 1114, 992, 823, 765, 617, 531. [α]_D²⁰ = -2.05 (c = 0.1, Chloroform).



(S)-4-(furan-2-yl)-6-(2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (3l) was obtained as a white solid (36.8 mg, 97% yield, m.p. 228-236 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.09 (s, 1H), 8.01 (s, 1H), 7.92 (d, *J* = 1.9 Hz, 1H), 7.71 – 7.55 (m, 4H), 7.48 – 7.34 (m, 3H), 7.24 (t, *J* = 7.5 Hz, 1H), 7.06 (dd, *J* = 7.5, 4.8 Hz, 1H), 6.99 (d, *J* = 8.9 Hz, 1H), 6.84 (d, *J* = 1.8 Hz, 1H), 6.72 – 6.63 (m, 2H). ¹³C NMR (126 MHz, DMSO-*d*₆) δ 162.9, 152.4, 151.4, 150.0, 148.1, 145.6, 145.1, 140.3, 137.2, 132.8, 130.8, 127.5, 127.0, 126.7, 124.3, 123.5, 123.0, 122.8, 117.5, 114.2, 112.8, 112.1, 110.2, 104.4. **HPLC analysis:** Chiral IC (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 75:25, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 56.74 min, tr (minor) = 48.14 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₆H₁₇N₂O₃]⁺ 381.1233, found 381.1231. **FTIR** (ν_{max}, cm⁻¹): 3513, 2862, 2641, 2362, 1748, 1668, 1447, 1327, 1111, 1024, 957, 887, 782, 527. [α]_D²⁰ = -8.81 (c = 0.1, Chloroform).



(S)-6-(2-hydroxynaphthalen-1-yl)-4-(thiophen-2-yl)-2H-[1,2'-bipyridin]-2-one (3m) was obtained as a white solid (38.4 mg, 97% yield, m.p. 259-264 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.10 (s, 1H), 8.01 (s, 1H), 7.88 – 7.82 (m, 1H), 7.77 (d, *J* = 5.0 Hz, 1H), 7.71 – 7.56 (m, 4H), 7.42 (ddd, *J* = 8.3, 6.7, 1.3 Hz, 2H), 7.24 (t, *J* = 7.5 Hz, 1H), 7.20 (dd, *J* = 5.1, 3.7 Hz, 1H), 7.06 (dd, *J* = 7.4, 4.8 Hz, 1H), 6.99 (d, *J* = 9.0 Hz, 1H), 6.85 (d, *J* = 2.0 Hz, 1H), 6.64 (d, *J* = 2.0 Hz, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 162.7, 152.5, 151.3, 148.1, 145.0, 144.4, 139.6, 137.2, 132.8, 130.8, 129.3, 129.0, 128.0, 127.5, 126.9, 126.7, 124.3, 123.5, 123.0, 122.8, 117.5, 114.1, 112.4, 106.1. **HPLC analysis:** Chiral IC (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 78:22, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 71.05 min, tr (minor) = 47.61 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₄H₁₇N₂O₂S]⁺ 397.1005, found 397.1003. **FTIR** (ν_{max}, cm⁻¹): 3512, 2897, 2631, 2357, 1749, 1648, 1424, 1262, 1113, 1022, 921, 842, 737, 541. [α]_D²⁰ = -6.81 (c = 0.1, Chloroform).

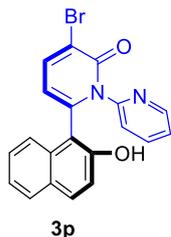


(S)-3-fluoro-6-(2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (3n) was obtained as a white solid (29.3 mg, 88% yield, m.p. 297-303 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.02 (s, 1H), 8.02 (s, 1H), 7.63 (q, *J* = 7.0 Hz, 4H), 7.51 (d, *J* = 8.5 Hz, 1H), 7.40 (t, *J* = 7.7 Hz, 2H), 7.23 (t, *J* = 7.5 Hz, 1H), 7.09 (dd, *J* = 7.5, 4.9 Hz, 1H), 6.95 (d, *J* = 8.9 Hz, 1H), 6.22 (dd, *J* = 7.7, 4.5 Hz, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 156.5, 156.3, 152.7, 152.0, 150.7, 150.0, 148.2, 147.4, 140.1, 140.1, 137.5, 133.0, 130.9, 127.5, 126.9, 126.6, 124.2, 124.0, 122.8, 122.8, 121.4, 121.3, 117.5, 113.5, 106.4, 106.4. **¹⁹F NMR** (471 MHz, DMSO-*d*₆) δ -133.97 (d, *J* = 10.0 Hz). **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 75:25, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 11.99 min, tr (minor) = 9.96 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₀H₁₄FN₂O₂]⁺ 333.1034, found 333.1031. **FTIR** (ν_{max}, cm⁻¹): 2887, 2634, 2351, 1763, 1687, 1525, 1437, 1254, 1119,

997, 842, 788, 529, 418.. $[\alpha]_D^{20} = -5.18$ (c = 0.1, Chloroform).



(S)-3-chloro-6-(2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (3o) was obtained as a white solid (33.1 mg, 95% yield, m.p. 292-297 °C). Eluent: Dichloromethane/Methanol = 98:2. $^1\text{H NMR}$ (500 MHz, DMSO- d_6) δ 10.09 (s, 1H), 7.97 (d, $J = 7.6$ Hz, 2H), 7.77 – 7.30 (m, 6H), 7.23 (t, $J = 7.6$ Hz, 1H), 7.14 – 7.01 (m, 1H), 6.96 (d, $J = 8.9$ Hz, 1H), 6.29 (d, $J = 7.3$ Hz, 1H). $^{13}\text{C NMR}$ (126 MHz, DMSO- d_6) δ 159.0, 151.2, 148.2, 143.9, 138.9, 137.5, 132.7, 131.0, 127.5, 126.9, 126.7, 124.2, 123.9, 123.7, 122.9, 122.7, 117.5, 113.4, 108.2. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm , hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 17.45 min, tr (minor) = 11.25 min. **HRMS** (ESI) m/z $[\text{M} + \text{H}]^+$: calcd. for $[\text{C}_{20}\text{H}_{14}\text{ClN}_2\text{O}_2]^+$ 349.0738, found 349.0738. **FTIR** (ν_{max} , cm^{-1}): 3513, 2715, 2665, 2361, 1743, 1682, 1469, 1327, 1264, 1117, 974, 8867, 613, 507. $[\alpha]_D^{20} = -5.11$ (c = 0.1, Chloroform).

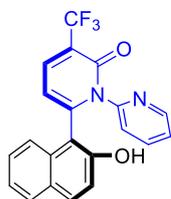


(S)-3-bromo-6-(2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (3p) was obtained as a white solid (38.9 mg, 99% yield, m.p. 288-293 °C). Eluent: Dichloromethane/Methanol = 98:2. $^1\text{H NMR}$ (500 MHz, DMSO- d_6) δ 10.10 (s, 1H), 8.14 (d, $J = 7.4$ Hz, 1H), 7.99 (s, 1H), 7.72 – 7.58 (m, 3H), 7.52 (d, $J = 8.4$ Hz, 1H), 7.40 (t, $J = 7.8$ Hz, 2H), 7.23 (t, $J = 7.5$ Hz, 1H), 7.08 (t, $J = 6.1$ Hz, 1H), 6.95 (d, $J = 8.9$ Hz, 1H), 6.23 (d, $J = 7.5$ Hz, 1H). $^{13}\text{C NMR}$ (126 MHz, DMSO- d_6) δ 159.0, 152.4, 151.3, 148.2, 144.7, 142.7, 137.5, 132.6, 131.0, 127.5, 126.9, 126.7, 124.2, 123.9, 122.9, 122.6, 117.4, 114.3, 113.4, 108.9. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm , hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 18.35 min, tr (minor) = 11.73 min. **HRMS** (ESI) m/z $[\text{M} + \text{H}]^+$: calcd. for $[\text{C}_{20}\text{H}_{14}\text{BrN}_2\text{O}_2]^+$ 393.0233, found 393.0229. **FTIR** (ν_{max} , cm^{-1}): 3404, 3022, 2816, 2467, 2361, 1725, 1623, 1507, 1452, 1327, 1111, 1018, 983, 827, 713, 509. $[\alpha]_D^{20} = -3.37$ (c = 0.1, Chloroform).



3q

(S)-methyl 6-(2-hydroxynaphthalen-1-yl)-2-oxo-2H-[1,2'-bipyridine]-3-carboxylate (3q) was obtained as a white solid (33.4 mg, 99% yield, m.p. 134-139 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (500 MHz, DMSO-*d*₆) δ 10.18 (s, 1H), 8.25 (d, *J* = 7.3 Hz, 1H), 8.11 – 7.91 (m, 1H), 7.66 (dd, *J* = 8.6, 5.5 Hz, 3H), 7.51 (d, *J* = 8.5 Hz, 1H), 7.41 (ddd, *J* = 8.4, 6.7, 1.3 Hz, 2H), 7.28 – 7.22 (m, 1H), 7.09 (dd, *J* = 7.5, 4.9 Hz, 1H), 6.96 (d, *J* = 9.0 Hz, 1H), 6.37 (d, *J* = 7.4 Hz, 1H), 3.80 (s, 3H). ¹³C NMR (151 MHz, DMSO-*d*₆) δ 165.1, 159.5, 151.1, 150.5, 148.2, 144.7, 137.4, 132.1, 131.1, 127.6, 126.8, 123.8, 123.0, 122.8, 119.3, 117.4, 113.6, 111.3, 107.8, 51.9. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 36.84 min, tr (minor) = 23.77 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₂H₁₇N₂O₄]⁺ 373.1182, found 373.1182. **FTIR** (ν_{max}, cm⁻¹): 2897, 2654, 2367, 1742, 1640, 1537, 1423, 1271, 1178, 1135, 1022, 872, 742, 678. [α]_D²⁰ = -6.58 (c = 0.1, Chloroform).



3r

(S)- 6-(2-hydroxynaphthalen-1-yl)-3-(trifluoromethyl)-2H-[1,2'-bipyridin]-2-one (3r) was obtained as a white solid (22.9 mg, 60% yield, m.p. 265-273 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (600 MHz, DMSO-*d*₆) δ 10.37 – 10.09 (m, 1H), 8.17 (d, *J* = 7.3 Hz, 1H), 8.00 (s, 1H), 7.67 (dd, *J* = 8.8, 2.4 Hz, 3H), 7.56 – 7.36 (m, 3H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.12 (dd, *J* = 7.5, 4.8 Hz, 1H), 6.97 (d, *J* = 8.9 Hz, 1H), 6.43 (d, *J* = 7.3 Hz, 1H). ¹³C NMR (151 MHz, DMSO-*d*₆) δ 159.3, 152.6, 150.9, 150.7, 148.8, 141.0, 141.0, 138.0, 132.7, 131.8, 128.1, 127.4, 127.3, 126.3, 124.6, 123.5, 123.3, 122.8, 121.0, 118.3, 118.1, 117.9, 117.7, 113.7, 107.9. ¹⁹F NMR (471 MHz, DMSO-*d*₆) δ -64.02. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 6.99 min, tr (minor) = 5.68 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₁H₁₄F₃N₂O₂]⁺ 383.1002, found 383.1000. **FTIR** (ν_{max}, cm⁻¹): 3389, 2813, 2365, 1742, 1632, 1543, 1387, 1351, 1117, 979, 623. [α]_D²⁰ =

-3.26 (c = 0.1, Chloroform).



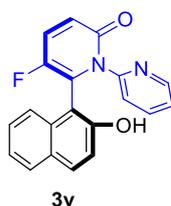
(*S*)-6-(2-hydroxynaphthalen-1-yl)-3-methyl-2*H*-[1,2'-bipyridin]-2-one (**3s**) was obtained as a white solid (26.2 mg, 80% yield, m.p. 278-286 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (500 MHz, DMSO-*d*₆) δ 9.94 (s, 1H), 7.98 (s, 1H), 7.62 (dd, *J* = 13.5, 8.5 Hz, 3H), 7.52 (t, *J* = 6.5 Hz, 2H), 7.43 – 7.29 (m, 2H), 7.22 (t, *J* = 7.4 Hz, 1H), 7.04 (dd, *J* = 7.5, 4.8 Hz, 1H), 6.95 (d, *J* = 8.9 Hz, 1H), 6.15 (d, *J* = 6.8 Hz, 1H), 2.13 (s, 3H). ¹³C NMR (126 MHz, DMSO-*d*₆) δ 163.7, 152.9, 152.4, 148.5, 142.3, 137.9, 137.6, 133.5, 131.0, 128.1, 127.9, 127.4, 126.9, 124.9, 123.9, 123.4, 123.2, 117.9, 114.9, 108.4, 17.4. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 14.75 min, tr (minor) = 9.69 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₁H₁₇N₂O₃]⁺ 329.1284, found.329.1281. **FTIR** (ν_{max}, cm⁻¹): 2773, 1724, 1642, 1547, 1471, 1326, 1095, 937, 779, 697, 453. [α]_D²⁰ = -3.48 (c = 0.1, Chloroform).



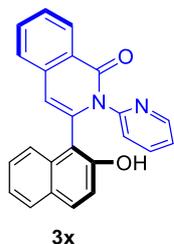
(*S*)-6-(2-hydroxynaphthalen-1-yl)-3-methoxy-2*H*-[1,2'-bipyridin]-2-one (**3t**) was obtained as a white solid (32.6 mg, 80% yield, m.p. 304-310 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (500 MHz, DMSO-*d*₆) δ 9.84 (s, 1H), 7.98 (s, 1H), 7.72 – 7.45 (m, 4H), 7.45 – 7.25 (m, 2H), 7.22 (d, *J* = 9.6 Hz, 1H), 7.12 – 6.98 (m, 2H), 6.93 (d, *J* = 8.8 Hz, 1H), 6.14 (d, *J* = 7.6 Hz, 1H), 3.83 (s, 3H). ¹³C NMR (126 MHz, DMSO-*d*₆) δ 158.6, 153.3, 152.1, 149.2, 148.5, 137.7, 135.0, 133.9, 130.9, 127.9, 127.4, 126.8, 124.9, 123.9, 123.4, 123.1, 118.0, 114.7, 114.2, 107.5, 56.1. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 72.34 min, tr (minor) = 29.49 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₁H₁₇N₂O₃]⁺ 345.1233, found.345.1232. **FTIR** (ν_{max}, cm⁻¹): 3521, 2812, 2637, 2351, 1727, 1623, 1433, 1328, 1278, 1118, 979, 823, 615. [α]_D²⁰ = 4.98 (c = 0.1, Chloroform).



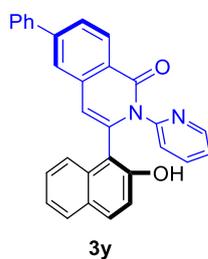
(S)-6-(2-hydroxynaphthalen-1-yl)-3-phenyl-2H-[1,2'-bipyridin]-2-one (3u) was obtained as a white solid (35.1 mg, 90% yield, m.p. 221-227 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.08 (s, 1H), 8.00 (s, 1H), 7.89 – 7.79 (m, 3H), 7.73 – 7.55 (m, 4H), 7.55 – 7.38 (m, 4H), 7.38 – 7.33 (m, 1H), 7.25 (ddd, *J* = 8.0, 6.8, 1.2 Hz, 1H), 7.10 – 7.05 (m, 1H), 6.99 (d, *J* = 8.9 Hz, 1H), 6.37 (d, *J* = 7.2 Hz, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 161.8, 151.9, 148.1, 144.1, 138.4, 137.2, 136.7, 132.8, 130.7, 129.0, 128.4, 128.0, 127.5, 127.5, 126.9, 126.6, 124.4, 123.5, 123.0, 122.8, 117.5, 114.1, 108.7. **HPLC analysis:** Chiral IC (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 18.23 min, tr (minor) = 13.46 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₆H₁₉N₂O₂]⁺ 391.1441, found 391.1436. **FTIR** (ν_{max}, cm⁻¹): 3423, 2827, 2710, 1724, 1625, 1507, 1467, 1328, 1232, 1114, 885, 796, 619, 533. [α]_D²⁰ = -3.89 (c = 0.1, Chloroform).



(S)-5-fluoro-6-(2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (3v) was obtained as a white solid (29.2 mg, 88% yield, m.p. 254-265 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.23 (s, 1H), 8.01 (s, 1H), 7.83 (dd, *J* = 10.1, 7.6 Hz, 1H), 7.67 (dd, *J* = 8.6, 3.0 Hz, 3H), 7.51 (d, *J* = 8.4 Hz, 1H), 7.46 – 7.39 (m, 1H), 7.36 (s, 1H), 7.25 (t, *J* = 7.5 Hz, 1H), 7.07 (dd, *J* = 7.4, 4.8 Hz, 1H), 6.99 (d, *J* = 8.9 Hz, 1H), 6.67 (dd, *J* = 10.1, 5.1 Hz, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 161.0, 153.3, 150.8, 148.2, 145.7, 143.9, 137.4, 132.8, 132.6, 132.5, 131.6, 130.4, 130.1, 127.7, 127.0, 126.9, 123.7, 123.2, 123.0, 120.8, 120.8, 117.5, 107.9. **¹⁹F NMR** (471 MHz, DMSO-*d*₆) δ -144.31. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 26.49 min, tr (minor) = 16.06min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₀H₁₄FN₂O₂]⁺ 333.1034, found 333.1032. **FTIR** (ν_{max}, cm⁻¹): 3427, 2861, 2674, 2342, 2333, 1742, 1668, 1447, 1427, 1361, 1231, 1143, 917, 724, 590, 441. [α]_D²⁰ = -3.71 (c = 0.1, Chloroform).



(S)-3-(2-hydroxynaphthalen-1-yl)-2-(pyridin-2-yl)isoquinolin-1(2H)-one (3x) was obtained as a white solid (36.1 mg, 99% yield, m.p. 253-259 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (500 MHz, DMSO-*d*₆) δ 9.94 (s, 1H), 8.31 (dd, *J* = 8.1, 1.3 Hz, 1H), 8.02 (s, 1H), 7.80 (ddd, *J* = 8.2, 7.1, 1.4 Hz, 1H), 7.77 – 7.71 (m, 1H), 7.69 – 7.56 (m, 5H), 7.47 – 7.33 (m, 2H), 7.23 (ddd, *J* = 7.9, 6.7, 1.1 Hz, 1H), 7.07 (ddd, *J* = 7.5, 4.9, 1.1 Hz, 1H), 6.98 (d, *J* = 8.9 Hz, 1H), 6.68 (s, 1H). ¹³C NMR (126 MHz, DMSO-*d*₆) δ 162.5, 152.7, 151.8, 148.1, 138.0, 137.2, 133.2, 133.0, 130.52, 127.5, 127.2, 127.0, 126.9, 126.5, 126.4, 125.1, 124.56, 123.4, 123.4, 122.7, 117.6, 114.6, 108.2. **HPLC analysis:** Chiral IC (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 85:15, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 57.40 min, tr (minor) = 46.76 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₄H₁₇N₂O₂]⁺ 365.1285, found 365.1283. **FTIR** (ν_{max}, cm⁻¹): 3061, 2742, 2357, 1721, 1673, 1590, 1412, 1378, 1271, 1116, 963, 727, 642, 468. [α]_D²⁰ = -8.71 (c = 0.1, Chloroform).



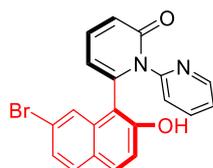
(S)-3-(2-hydroxynaphthalen-1-yl)-6-phenyl-2-(pyridin-2-yl)isoquinolin-1(2H)-one (3y) was obtained as a white solid (28.6 mg, 65% yield, m.p. 257-263 °C). Eluent: Dichloromethane/Methanol = 98:2. ¹H NMR (600 MHz, DMSO-*d*₆) δ 9.96 (s, 1H), 8.38 (d, *J* = 8.4 Hz, 1H), 8.07 (d, *J* = 1.8 Hz, 1H), 8.02 (s, 1H), 7.91 (dd, *J* = 8.4, 1.8 Hz, 1H), 7.86 – 7.81 (m, 2H), 7.71 – 7.60 (m, 4H), 7.54 (dd, *J* = 8.4, 7.1 Hz, 2H), 7.48 – 7.36 (m, 3H), 7.23 (ddd, *J* = 8.0, 6.8, 1.2 Hz, 1H), 7.07 (ddd, *J* = 7.5, 4.9, 1.1 Hz, 1H), 6.99 (d, *J* = 8.9 Hz, 1H), 6.75 (s, 1H). ¹³C NMR (151 MHz, DMSO-*d*₆) δ 162.3, 152.7, 151.8, 148.1, 144.4, 139.1, 138.4, 137.7, 137.2, 133.2, 130.5, 129.1, 128.4, 128.0, 127.5, 127.2, 127.0, 126.5, 125.5, 124.6, 124.1, 124.1, 123.4, 123.4, 122.7, 117.6, 114.6, 108.4. **HPLC analysis:** Chiral IK-3 (250 x 4.6 mm, 3 μm, hexane/*i*-PrOH = 75:25, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 40.04 min, tr (minor) =

21.43 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[C_{30}H_{21}N_2O_2]^+$ 441.1597, found 441.1596. **FTIR** (ν_{\max} , cm^{-1}): 3062, 2873, 2624, 2351, 1762, 1627, 1457, 1276, 1116, 997, 724, 653, 581, 467. $[\alpha]_D^{20} = -3.36$ ($c = 0.1$, Chloroform).



3za

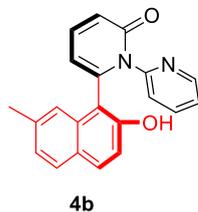
(S)-6-(2-hydroxynaphthalen-1-yl)-1-(isoquinolin-1-yl)pyridin-2(1H)-one (3za) was obtained as a white solid (18.2 mg, 50% yield, m.p. 256-262 °C). Eluent: Dichloromethane/Methanol = 98:2. **$^1\text{H NMR}$** (500 MHz, $\text{DMSO-}d_6$) δ 10.03 (s, 1H), 8.18 (d, $J = 8.6$ Hz, 1H), 7.79 (dd, $J = 8.2, 1.4$ Hz, 1H), 7.69 (dd, $J = 9.3, 6.8$ Hz, 2H), 7.58 – 7.31 (m, 7H), 7.21 (ddd, $J = 8.0, 6.8, 1.1$ Hz, 1H), 6.89 (d, $J = 8.9$ Hz, 1H), 6.64 (dd, $J = 9.3, 1.3$ Hz, 1H), 6.31 (dd, $J = 6.8, 1.2$ Hz, 1H). **$^{13}\text{C NMR}$** (126 MHz, $\text{DMSO-}d_6$) δ 163.0, 152.3, 151.2, 145.9, 144.7, 140.9, 137.0, 132.9, 130.6, 129.5, 128.1, 127.5, 127.3, 127.0, 127.0, 126.8, 126.4, 124.6, 122.8, 121.1, 119.3, 117.3, 114.2, 108.6. **HPLC analysis:** Chiral IK-3 (250 x 4.6 mm, 3 μm , hexane/*i*-PrOH = 75:25, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 20.14 min, tr (minor) = 17.85 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[C_{24}H_{17}N_2O_2]^+$ 365.1284, found 365.1284. **FTIR** (ν_{\max} , cm^{-1}): 3061, 2874, 2648, 2357, 1597, 1421, 1357, 1108, 977, 711, 696, 441. $[\alpha]_D^{20} = -2.25$ ($c = 0.1$, Chloroform).



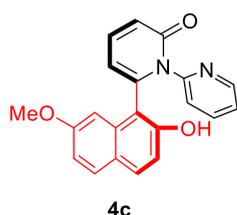
4a

(S)-6-(7-bromo-2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4a) was obtained as a white solid (36.4 mg, 93% yield, m.p. 256-262 °C). Eluent: Dichloromethane/Methanol = 98:2. **$^1\text{H NMR}$** (500 MHz, $\text{DMSO-}d_6$) δ 10.29 (s, 1H), 8.00 (s, 1H), 7.65 (dq, $J = 8.2, 3.8$ Hz, 5H), 7.36 (dd, $J = 8.7, 1.9$ Hz, 2H), 7.08 (dd, $J = 7.4, 4.8$ Hz, 1H), 6.97 (d, $J = 8.9$ Hz, 1H), 6.60 (d, $J = 9.3$ Hz, 1H), 6.27 (d, $J = 6.7$ Hz, 1H). **$^{13}\text{C NMR}$** (126 MHz, $\text{DMSO-}d_6$) δ 162.7, 153.3, 151.4, 148.1, 143.9, 140.7, 137.3, 134.1, 130.9, 129.9, 125.9, 125.7, 125.4, 123.6, 123.0, 120.3, 119.6, 118.1, 113.5, 108.5. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm , hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 32.49 min,

tr (minor) = 24.78 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[C_{20}H_{14}BrN_2O_2]^+$ 393.0233, found 393.0229. **FTIR** (ν_{max} , cm^{-1}): 3423, 2816, 2357, 1643, 1587, 1382, 1350, 1118, 732, 613. $[\alpha]_D^{20} = -2.71$ ($c = 0.1$, Chloroform).

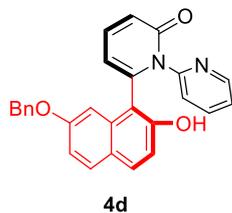


(S)-6-(2-hydroxy-7-methylnaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4b) was obtained as a white solid (32.4 mg, 99% yield, m.p. 234-240 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 9.93 (s, 1H), 8.01 (s, 1H), 7.70 – 7.49 (m, 4H), 7.44 – 7.19 (m, 2H), 7.12 – 7.00 (m, 2H), 6.87 (d, $J = 8.9$ Hz, 1H), 6.58 (dd, $J = 9.3, 1.2$ Hz, 1H), 6.22 (dd, $J = 6.7, 1.3$ Hz, 1H), 2.39 (s, 3H). **¹³C NMR** (126 MHz, DMSO- d_6) δ 162.9, 152.4, 151.6, 148.0, 145.0, 140.7, 137.1, 135.7, 133.0, 130.4, 127.5, 125.3, 125.0, 123.4, 123.0, 119.1, 116.4, 113.8, 108.4, 21.7. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μ m, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 29.64 min, tr (minor) = 19.92 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[C_{21}H_{17}N_2O_3]^+$ 329.1284, found.329.1282. **FTIR** (ν_{max} , cm^{-1}): 2773, 1658, 1506, 1436, 1396, 1114, 1095, 975,779, 698, 453. $[\alpha]_D^{20} = -2.92$ ($c = 0.1$, Chloroform).

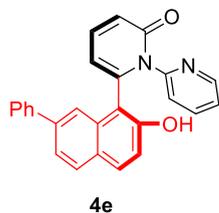


(S)-6-(2-hydroxy-7-methoxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4c) was obtained as a white solid (30.9 mg, 90% yield, m.p. 257-262 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (600 MHz, DMSO- d_6) δ 9.95 (s, 1H), 8.05 (s, 1H), 7.70 – 7.49 (m, 4H), 7.33 (s, 1H), 7.07 (dd, $J = 7.5, 4.9$ Hz, 1H), 6.89 (dd, $J = 8.8, 2.5$ Hz, 1H), 6.79 (d, $J = 8.8$ Hz, 2H), 6.57 (dd, $J = 9.3, 1.2$ Hz, 1H), 6.23 (d, $J = 7.0$ Hz, 1H), 3.77 (s, 3H). **¹³C NMR** (151 MHz, DMSO- d_6) δ 162.8, 157.7, 153.0, 151.6, 148.2, 144.9, 140.7, 137.2, 134.1, 130.4, 129.2, 123.5, 122.8, 122.4, 119.2, 114.8, 114.5, 113.6, 108.2. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μ m, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 28.52 min, tr (minor) = 20.72 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[C_{21}H_{17}N_2O_3]^+$ 329.1284, found

329.1281. **FTIR** (ν_{\max} , cm^{-1}): 3309, 2648, 2364, 1655, 1560, 1483, 1342, 1273, 1162, 1101, 1029, 810, 742, 439. $[\alpha]_{\text{D}}^{20} = -3.67$ ($c = 0.1$, Chloroform).

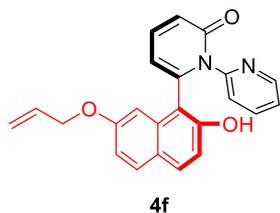


(S)-6-(7-(benzyloxy)-2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4d) was obtained as a white solid (35.7 mg, 85% yield, m.p. 234-238 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 9.92 (s, 1H), 8.16 – 7.99 (m, 1H), 7.71 – 7.47 (m, 4H), 7.46 – 7.11 (m, 6H), 7.06 (t, $J = 6.1$ Hz, 1H), 6.96 (dd, $J = 8.8, 2.4$ Hz, 1H), 6.89 (s, 1H), 6.77 (d, $J = 9.1$ Hz, 1H), 6.62 – 6.56 (m, 1H), 6.13 (d, $J = 6.7$ Hz, 1H), 5.14 (s, 2H). **¹³C NMR** (126 MHz, DMSO- d_6) δ 162.8, 156.7, 153.0, 151.6, 148.1, 144.8, 140.6, 137.1, 137.0, 134.1, 130.3, 129.2, 128.5, 127.9, 127.8, 123.5, 122.8, 122.4, 119.2, 114.9, 113.5, 108.2, 69.3. **HPLC analysis:** Chiral IC (250 x 4.6 mm, 5 μm , hexane/*i*-PrOH = 75:25, 1.0 mL/min, 25 °C, 230 nm), t_{r} (major) = 129.86 min, t_{r} (minor) = 100.89 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[\text{C}_{27}\text{H}_{21}\text{N}_2\text{O}_3]^+$ 421.1546, found 421.1543. **FTIR** (ν_{\max} , cm^{-1}): 2818, 2362, 2337, 1653, 1598, 1550, 1390, 1224, 1113, 1007, 741, 623, 463. $[\alpha]_{\text{D}}^{20} = -1.67$ ($c = 0.1$, Chloroform).

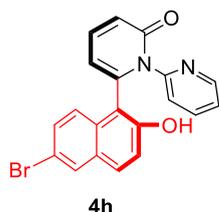


(S)-6-(2-hydroxy-7-phenylnaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4e) was obtained as a white solid (37.0 mg, 95% yield, m.p. 247-250 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 10.15 (s, 1H), 8.02 (s, 1H), 7.78 – 7.58 (m, 7H), 7.55 – 7.45 (m, 3H), 7.43 – 7.31 (m, 2H), 7.05 (ddd, $J = 7.4, 4.8, 1.1$ Hz, 1H), 7.01 (d, $J = 8.8$ Hz, 1H), 6.61 (dd, $J = 9.3, 1.2$ Hz, 1H), 6.29 (dd, $J = 6.8, 1.2$ Hz, 1H). **¹³C NMR** (126 MHz, DMSO- d_6) δ 162.8, 152.9, 151.6, 148.2, 144.7, 140.8, 140.6, 138.1, 137.4, 132.9, 130.5, 129.1, 128.3, 127.6, 127.0, 126.2, 123.6, 122.8, 122.2, 119.4, 117.7, 114.5, 108.5. **HPLC analysis:** Chiral IK-3 (250 x 4.6 mm, 3 μm , hexane/*i*-PrOH = 75:25, 1.0 mL/min, 25 °C, 254 nm), t_{r} (major) = 36.03 min, t_{r} (minor) = 32.53 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[\text{C}_{26}\text{H}_{19}\text{N}_2\text{O}_2]^+$ 391.1441, found 391.1438. **FTIR** (ν_{\max} , cm^{-1}): 3412, 2801, 2357, 1657, 1590, 1347, 1110,

962, 769, 615. $[\alpha]_D^{20} = -5.68$ (c = 0.1, Chloroform).

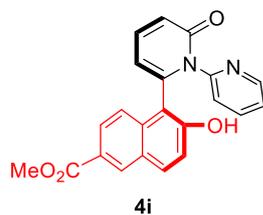


(S)-6-(7-(allyloxy)-2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4f) was obtained as a white solid (35.1 mg, 95% yield, m.p. 222-227 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (600 MHz, DMSO-*d*₆) δ 9.95 (s, 1H), 8.06 (s, 1H), 7.71 – 7.55 (m, 3H), 7.53 (d, *J* = 8.8 Hz, 1H), 7.33 (s, 1H), 7.08 (ddd, *J* = 7.4, 4.9, 1.1 Hz, 1H), 6.92 (dd, *J* = 8.9, 2.5 Hz, 1H), 6.89 – 6.81 (m, 1H), 6.79 (d, *J* = 8.8 Hz, 1H), 6.57 (dd, *J* = 9.3, 1.3 Hz, 1H), 6.22 (dd, *J* = 6.7, 1.3 Hz, 1H), 6.08 (ddt, *J* = 17.3, 10.6, 5.3 Hz, 1H), 5.41 (dq, *J* = 17.3, 1.7 Hz, 1H), 5.28 (dq, *J* = 10.5, 1.5 Hz, 1H), 4.65 – 4.54 (m, 2H). **¹³C NMR** (151 MHz, DMSO-*d*₆) δ 162.8, 156.6, 153.0, 151.6, 148.1, 144.9, 140.7, 137.2, 134.1, 133.6, 130.4, 129.2, 123.5, 122.8, 122.4, 119.2, 117.7, 114.9, 114.7, 113.5, 108.2, 68.3. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 22.97 min, tr (minor) = 18.43 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₃H₁₉N₂O₃]⁺ 371.1390, found 371.1389. **FTIR** (ν_{max}, cm⁻¹): 3031, 2327, 2108, 1721, 1657, 1583, 1441, 1326, 1274, 1138, 1032, 837, 731, 481. $[\alpha]_D^{20} = -3.81$ (c = 0.1, Chloroform).

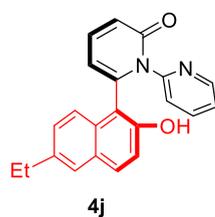


(S)-6-(2,6-dihydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4h) was obtained as a white solid (33.4 mg, 85% yield, m.p. 270-279 °C). Eluent: Dichloromethane/Methanol = 98:2 **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.22 (s, 1H), 8.00 (s, 1H), 7.92 (d, *J* = 2.1 Hz, 1H), 7.73 – 7.57 (m, 3H), 7.56 – 7.42 (m, 2H), 7.36 (s, 1H), 7.07 (dd, *J* = 7.5, 4.8 Hz, 1H), 6.99 (d, *J* = 9.0 Hz, 1H), 6.65 – 6.53 (m, 1H), 6.30 – 6.18 (m, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 162.7, 152.8, 151.5, 148.1, 144.1, 140.6, 137.3, 131.5, 129.9, 129.4, 129.3, 128.1, 126.7, 123.6, 123.0, 119.5, 118.7, 115.7, 114.4, 108.4. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 39.36 min, tr (minor) = 20.86 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₀H₁₄BrN₂O₂]⁺ 393.0233, found

393.0229. **FTIR** (ν_{\max} , cm^{-1}): 3421, 3061, 2782, 2343, 1726, 1641, 1528, 1433, 1323, 1111, 991, 857, 783, 539. $[\alpha]_{\text{D}}^{20} = -6.62$ ($c = 0.1$, Chloroform).

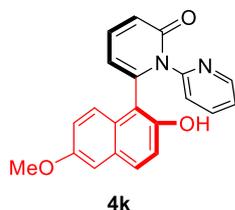


(S)-methyl 6-hydroxy-5-(2-oxo-2H-[1,2'-bipyridin]-6-yl)-2-naphthoate (4i) was obtained as a white solid (35.1 mg, 93% yield, m.p. 157-161 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 10.50 (s, 1H), 8.37 (s, 1H), 7.97 (s, 1H), 7.91 – 7.82 (m, 2H), 7.72 – 7.55 (m, 3H), 7.35 (s, 1H), 7.12 – 6.98 (m, 2H), 6.59 (d, $J = 9.3$ Hz, 1H), 6.26 (d, $J = 6.7$ Hz, 1H), 3.87 (s, 3H). **¹³C NMR** (126 MHz, DMSO- d_6) δ 166.3, 162.7, 151.5, 148.1, 144.0, 140.7, 137.2, 135.3, 132.4, 130.4, 125.9, 125.5, 124.8, 123.7, 123.5, 123.0, 119.5, 118.5, 114.4, 108.4, 52.0. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm , hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 46.21 min, tr (minor) = 31.21 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[\text{C}_{23}\text{H}_{17}\text{N}_2\text{O}_4]^+$ 373.1182, found 373.1180. **FTIR** (ν_{\max} , cm^{-1}): 2878, 2637, 2368, 1701, 1650, 1560, 1432, 247, 1110, 1151, 947, 803, 727, 644. $[\alpha]_{\text{D}}^{20} = -2.85$ ($c = 0.1$, Chloroform).

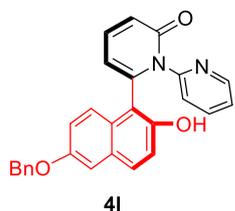


(S)-6-(6-ethyl-2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4j) was obtained as a white solid (33.5 mg, 98% yield, m.p. 237-243 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 9.87 (s, 1H), 8.00 (s, 1H), 7.62 (dd, $J = 9.3, 6.7$ Hz, 2H), 7.54 (d, $J = 8.9$ Hz, 1H), 7.49 – 7.25 (m, 4H), 7.06 (ddd, $J = 7.5, 4.8, 1.1$ Hz, 1H), 6.90 (d, $J = 8.9$ Hz, 1H), 6.56 (dd, $J = 9.3, 1.2$ Hz, 1H), 6.19 (dd, $J = 6.7, 1.3$ Hz, 1H), 2.67 (q, $J = 7.6$ Hz, 2H), 1.21 (t, $J = 7.6$ Hz, 3H). **¹³C NMR** (151 MHz, DMSO- d_6) δ 162.8, 151.6, 148.1, 145.0, 140.6, 137.9, 137.2, 131.2, 130.1, 127.7, 127.1, 125.1, 124.3, 123.5, 122.9, 119.1, 117.4, 114.2, 108.3, 27.9, 15.4. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm , hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 29.61 min, tr (minor) = 18.89 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[\text{C}_{22}\text{H}_{19}\text{N}_2\text{O}_2]^+$ 343.1441, found 343.1440. **FTIR** (ν_{\max} ,

cm⁻¹): 3427, 2715, 2340, 1662, 1584, 1531, 1471, 1396, 1088, 983, 779, 660, 547. $[\alpha]_D^{20} = -2.36$ (c = 0.1, Chloroform).

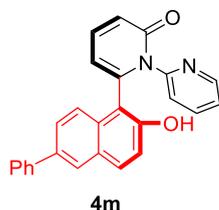


(S)-6-(2-hydroxy-6-methoxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4k) was obtained as a white solid (29.9 mg, 98% yield, m.p. 222-230 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 9.72 (s, 1H), 8.00 (s, 1H), 7.62 (dd, *J* = 9.3, 6.8 Hz, 2H), 7.51 (d, *J* = 8.9 Hz, 1H), 7.46 – 7.38 (m, 1H), 7.34 (s, 1H), 7.11 – 7.03 (m, 3H), 6.90 (d, *J* = 8.9 Hz, 1H), 6.56 (dd, *J* = 9.3, 1.2 Hz, 1H), 6.20 (dd, *J* = 6.7, 1.3 Hz, 1H), 3.78 (s, 3H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 162.7, 154.9, 151.6, 150.5, 148.1, 144.9, 140.6, 137.2, 129.4, 127.9 (d, *J* = 10.3 Hz), 125.8, 123.5, 122.9, 119.2, 118.8, 117.8, 114.5, 108.2, 106.0, 55.0. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 39.94 min, tr (minor) = 25.02 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₁H₁₇N₂O₃]⁺ 345.1233, found 345.1232. **FTIR** (ν_{max}, cm⁻¹): 3301, 2813, 2364, 1653, 1598, 1398, 1352, 1221, 1101, 857, 622, 462. $[\alpha]_D^{20} = -1.42$ (c = 0.1, Chloroform).

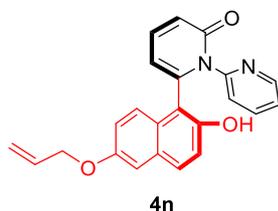


(S)-6-(6-(benzyloxy)-2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4l) was obtained as a white solid (35.5 mg, 85% yield, m.p. 138-146 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 9.76 (s, 1H), 8.01 (s, 1H), 7.62 (dd, *J* = 9.3, 6.7 Hz, 2H), 7.53 – 7.43 (m, 4H), 7.43 – 7.28 (m, 4H), 7.22 – 7.14 (m, 2H), 7.10 – 7.03 (m, 1H), 6.91 (d, *J* = 8.9 Hz, 1H), 6.57 (dd, *J* = 9.3, 1.3 Hz, 1H), 6.21 (dd, *J* = 6.8, 1.2 Hz, 1H), 5.12 (s, 2H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 162.7, 154.0, 151.6, 150.5, 148.1, 144.9, 140.6, 137.2, 137.1, 129.4, 128.4, 128.1, 127.8, 127.8, 127.8, 125.9, 123.5, 122.9, 119.2, 119.1, 117.8, 114.5, 108.3, 107.4, 69.2. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 64.37 min, tr (minor) = 44.66 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₇H₂₁N₂O₃]⁺ 421.1546, found 421.1543. **FTIR** (ν_{max},

cm⁻¹): 3031, 2828, 2327, 2121, 1721, 1617, 1542, 1477, 1322, 1246, 1190, 1147, 837, 775, 618. [α]_D²⁰ = -1.76 (c = 0.1, Chloroform).

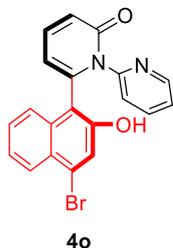


(S)-6-(2-hydroxy-6-phenylnaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4m) was obtained as a white solid (38.2 mg, 98% yield, m.p. 254-258 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO-*d*₆) δ 10.09 (s, 1H), 8.01 (s, 1H), 7.98 (d, *J* = 1.7 Hz, 1H), 7.80 – 7.68 (m, 4H), 7.68 – 7.57 (m, 3H), 7.48 (td, *J* = 7.8, 1.7 Hz, 2H), 7.43 – 7.30 (m, 2H), 7.11 – 7.01 (m, 1H), 6.97 (d, *J* = 8.8 Hz, 1H), 6.59 (dd, *J* = 9.2, 1.3 Hz, 1H), 6.29 – 6.19 (m, 1H). **¹³C NMR** (126 MHz, DMSO-*d*₆) δ 163.3, 152.9, 152.1, 148.6, 145.2, 141.1, 140.3, 137.7, 134.7, 132.6, 131.6, 129.5, 127.7, 127.7, 127.1, 126.1, 125.5, 124.0, 123.5, 119.8, 118.4, 114.7, 108.9. **HPLC analysis:** Chiral IB N-5 (550 x 4.6 mm, 5 μ m, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 47.21 min, tr (minor) = 28.16 min. **HRMS** (ESI) *m/z* [M + H]⁺: calcd. for [C₂₆H₁₉N₂O₂]⁺ 391.1441, found 391.1437. **FTIR** (ν_{\max} , cm⁻¹): 3402, 2815, 2376, 1672, 1597, 1350, 1110, 769, 615. [α]_D²⁰ = -3.09 (c = 0.1, Chloroform).

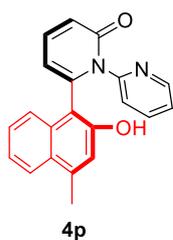


(S)-6-(6-allyloxy-2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4n) was obtained as a white solid (35.5 mg, 98% yield, m.p. 128-132 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (600 MHz, DMSO-*d*₆) δ 9.74 (s, 1H), 8.00 (s, 1H), 7.62 (dd, *J* = 9.3, 6.7 Hz, 2H), 7.49 (d, *J* = 8.9 Hz, 1H), 7.45 – 7.20 (m, 2H), 7.15 – 7.04 (m, 3H), 6.90 (d, *J* = 8.9 Hz, 1H), 6.56 (dd, *J* = 9.4, 1.2 Hz, 1H), 6.21 (dd, *J* = 6.7, 1.2 Hz, 1H), 6.08 (m, *J* = 17.2, 10.5, 5.2 Hz, 1H), 5.42 (dd, *J* = 17.3, 1.7 Hz, 1H), 5.27 (dd, *J* = 10.5, 1.5 Hz, 1H), 4.58 (dt, *J* = 5.3, 1.6 Hz, 2H). **¹³C NMR** (151 MHz, DMSO-*d*₆) δ 162.7, 153.8, 151.6, 150.7, 148.1, 144.9, 140.6, 137.2, 133.7, 129.4, 128.0, 127.8, 125.8, 123.5, 122.9, 119.2, 119.0, 117.8, 117.5, 114.4, 108.3, 107.2, 68.2. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μ m, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 39.39 min, tr (minor) = 25.27 min. **HRMS** (ESI)

m/z $[M + H]^+$: calcd. for $[C_{23}H_{19}N_2O_3]^+$ 371.1390, found 371.1388. **FTIR** (ν_{\max} , cm^{-1}): 3057, 2237, 2113, 2094, 1744, 1617, 1563, 1481, 1356, 1280, 1118, 1035, 992, 751, 523. $[\alpha]_D^{20} = -2.08$ ($c = 0.1$, Chloroform).

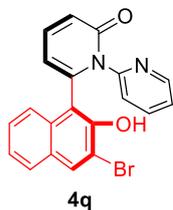


(S)-6-(4-bromo-2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4o) was obtained as a white solid (34.6 mg, 88% yield, m.p. 294-297 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 10.42 (s, 1H), 8.00 (s, 1H), 7.87 (d, $J = 8.4$ Hz, 1H), 7.73 – 7.49 (m, 4H), 7.50 (m, $J = 8.3, 6.7, 1.3$ Hz, 1H), 7.44 – 7.14 (m, 3H), 7.08 (m, $J = 7.5, 4.8, 1.0$ Hz, 1H), 6.60 (dd, $J = 9.3, 1.2$ Hz, 1H), 6.25 (dd, $J = 6.8, 1.2$ Hz, 1H). **¹³C NMR** (126 MHz, DMSO- d_6) δ 162.6, 152.2, 151.4, 148.2, 143.7, 140.6, 137.4, 133.5, 127.6, 126.0, 125.2, 125.1, 124.6, 123.7, 123.6, 123.0, 121.2, 119.6, 114.8, 108.5. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm , hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 42.09 min, tr (minor) = 27.49 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[C_{20}H_{14}BrN_2O_2]^+$ 393.0233, found 393.0228. **FTIR** (ν_{\max} , cm^{-1}): 3453, 3019, 2842, 2287, 1741, 1641, 1520, 1438, 1356, 1115, 1092, 983, 727, 449. $[\alpha]_D^{20} = -1.92$ ($c = 0.1$, Chloroform).

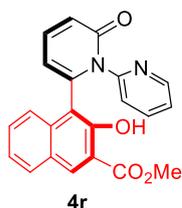


(S)-6-(2-hydroxy-4-methylnaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4p) was obtained as a white solid (32.5 mg, 99% yield, m.p. 265-271 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 9.90 (s, 1H), 8.00 (s, 1H), 7.74 (d, $J = 8.3$ Hz, 1H), 7.69 – 7.47 (m, 3H), 7.40 (q, $J = 10.3$ Hz, 2H), 7.26 (t, $J = 7.6$ Hz, 1H), 7.05 (dd, $J = 7.4, 4.8$ Hz, 1H), 6.83 (s, 1H), 6.57 (d, $J = 9.2$ Hz, 1H), 6.19 (d, $J = 6.7$ Hz, 1H), 2.45 (s, 3H). **¹³C NMR** (126 MHz, DMSO- d_6) δ 162.8, 151.7, 148.1, 145.0, 140.6, 137.2, 137.1, 133.0, 126.3, 126.2, 124.9, 123.8, 123.5, 122.9, 122.7, 119.1, 118.1, 112.6, 108.5, 19.0. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μm , hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C,

254 nm), tr (major) = 31.91 min, tr (minor) = 27.26 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[C_{21}H_{17}N_2O_3]^+$ 329.1284, found.329.1283. **FTIR** (ν_{\max} , cm^{-1}): 2770, 1657, 1502, 1471, 1326, 1278, 1115, 982,827, 677, 536. $[\alpha]_D^{20} = -1.88$ ($c = 0.1$, Chloroform).



(S)-6-(3-bromo-2-hydroxynaphthalen-1-yl)-2H-[1,2'-bipyridin]-2-one (4q) was obtained as a white solid (30.2 mg, 99% yield, m.p. 271-276 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 9.96 (s, 1H), 8.08 (s, 1H), 8.00 (s, 1H), 7.69 – 7.57 (m, 3H), 7.50 – 7.41 (m, 2H), 7.36 (d, $J = 8.0$ Hz, 1H), 7.28 (ddd, $J = 8.0, 6.4, 1.5$ Hz, 1H), 7.06 (dd, $J = 7.5, 4.8$ Hz, 1H), 6.64 (dd, $J = 9.3, 1.2$ Hz, 1H), 6.30 (dd, $J = 6.8, 1.2$ Hz, 1H). **¹³C NMR** (126 MHz, DMSO- d_6) δ 162.7, 151.3, 148.8, 148.1, 143.0, 140.6, 137.5, 133.0, 131.8, 127.7, 126.9, 126.6, 124.5, 124.0, 123.7, 122.9, 120.3, 116.5, 112.6, 109.1. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μ m, hexane/*i*-PrOH = 75:25, 1.0 mL/min, 25 °C, 230 nm), tr (major) = 65.42 min, tr (minor) = 18.36 min. **HRMS** (ESI) m/z $[M + H]^+$: calcd. for $[C_{20}H_{14}BrN_2O_2]^+$ 393.0233, found.393.0229. **FTIR** (ν_{\max} , cm^{-1}): 3424, 3027, 2867, 2402, 2322, 1701, 1654, 1547, 1392, 1105, 982, 833, 696, 514. $[\alpha]_D^{20} = -1.25$ ($c = 0.1$, Chloroform).



(S)-methyl 3-hydroxy-4-(2-oxo-2H-[1,2'-bipyridin]-6-yl)-2-naphthoate (4r) was obtained as a white solid (36.1 mg, 94% yield, m.p. 218-262 °C). Eluent: Dichloromethane/Methanol = 98:2. **¹H NMR** (500 MHz, DMSO- d_6) δ 10.56 (s, 1H), 8.47 (s, 1H), 7.96 (d, $J = 4.7$ Hz, 1H), 7.90 (d, $J = 8.2$ Hz, 1H), 7.73 – 7.54 (m, 4H), 7.37 (td, $J = 8.3, 4.7$ Hz, 2H), 7.06 (ddd, $J = 7.5, 4.8, 1.1$ Hz, 1H), 6.62 (dd, $J = 9.3, 1.2$ Hz, 1H), 6.30 (dd, $J = 6.7, 1.2$ Hz, 1H), 3.93 (s, 3H). **¹³C NMR** (126 MHz, DMSO- d_6) δ 169.5, 163.1, 152.8, 151.8, 148.6, 143.6, 141.2, 137.9, 135.8, 134.3, 130.4, 129.9, 126.3, 124.9, 124.8, 124.2, 123.5, 120.3, 116.8, 114.0, 108.9, 53.5. **HPLC analysis:** Chiral IB N-5 (250 x 4.6 mm, 5 μ m, hexane/*i*-PrOH = 80:20, 1.0 mL/min, 25 °C, 254 nm), tr (major) = 48.88 min, tr (minor) = 36.48 min. **HRMS** (ESI) m/z

[M + H]⁺: calcd. for [C₂₂H₁₇N₂O₄]⁺ 373.1182, found 373.1181. **FTIR** (ν_{max}, cm⁻¹): 2891, 2657, 2361, 1701, 1654, 1528, 1432, 1327, 1199, 1151, 987, 827, 781, 518. [α]_D²⁰ = -2.38 (c = 0.1, Chloroform).

9. X-ray Data of Compound 3a (CCDC: 2410419)

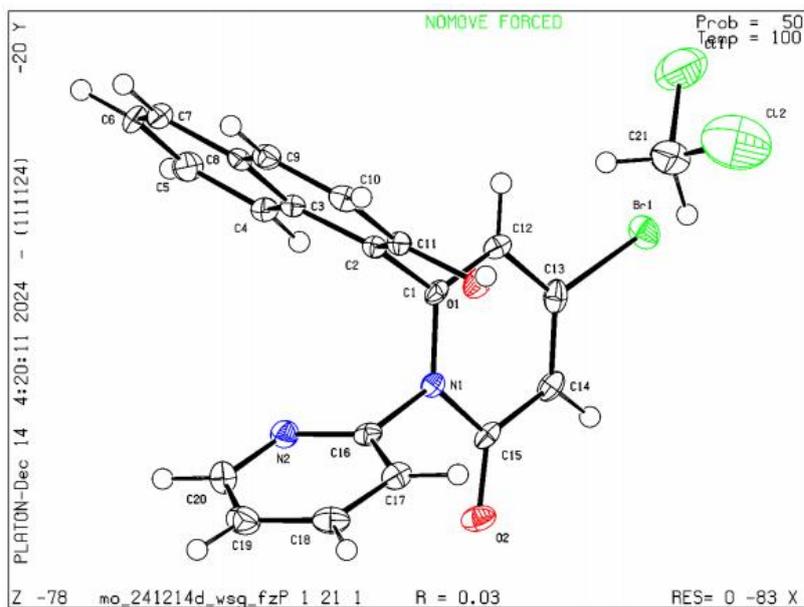


Table S4 Crystal data and structure refinement for 3a.

Identification code	mo_241214D_WSG_FZW_4_br
Empirical formula	C ₂₁ H ₁₅ BrCl ₂ N ₂ O ₂
Formula weight	478.16
Temperature/K	100
Crystal system	monoclinic
Space group	P2 ₁
a/Å	8.5877(4)
b/Å	13.8995(6)
c/Å	9.0520(4)
α/°	90
β/°	109.623(2)
γ/°	90
Volume/Å ³	1017.74(8)
Z	2
ρ _{calc} /cm ³	1.56
μ/mm ⁻¹	2.301
F(000)	480
Crystal size/mm ³	0.25 × 0.2 × 0.02
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	5.036 to 50.752
Index ranges	-10 ≤ h ≤ 10, -16 ≤ k ≤ 16, -10 ≤ l ≤ 10
Reflections collected	42899
Independent reflections	3667 [R _{int} = 0.0636, R _{sigma} = 0.0317]
Data/restraints/parameters	3667/1/254
Goodness-of-fit on F ²	1.054
Final R indexes [I >= 2σ (I)]	R ₁ = 0.0252, wR ₂ = 0.0598

Final R indexes [all data]	$R_1 = 0.0268$, $wR_2 = 0.0603$
Largest diff. peak/hole / e \AA^{-3}	0.77/-0.46
Flack parameter	0.020(4)

Table S5 Fractional Atomic Coordinates ($\times 10^4$) and Equivalent Isotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$) for 3a. U_{eq} is defined as 1/3 of the trace of the orthogonalised UIJ tensor.

Atom	<i>x</i>	<i>y</i>	<i>z</i>	U_{eq}
Br ₍₁₎	10376.5(4)	2750.3(3)	6380.9(4)	22.72(12)
Cl ₍₁₎	11834.9(19)	5847.6(13)	7003.5(19)	62.1(4)
Cl ₍₂₎	10341(3)	7258.0(12)	8476(3)	77.6(5)
N ₍₁₎	5226(4)	3926(2)	3528(3)	12.5(6)
O ₍₂₎	3965(3)	2823(3)	4585(3)	20.8(5)
O ₍₁₎	6200(3)	6122.4(18)	4338(3)	18.2(5)
C ₍₁₆₎	3596(4)	4301(2)	2663(4)	14.5(7)
C ₍₄₎	6544(4)	4164(3)	56(4)	17.2(8)
C ₍₃₎	6378(4)	5073(3)	699(4)	14.4(7)
C ₍₂₎	6373(4)	5175(3)	2269(4)	13.2(7)
C ₍₅₎	6605(5)	4102(3)	-1426(5)	20.9(8)
N ₍₂₎	2989(4)	4019(2)	1182(4)	18.3(7)
C ₍₁₁₎	6181(4)	6069(3)	2843(4)	13.8(7)
C ₍₁₇₎	2830(5)	4901(3)	3417(5)	17.6(8)
C ₍₁₀₎	6001(5)	6898(3)	1895(5)	16.7(8)
C ₍₇₎	6293(5)	5817(3)	-1772(4)	18.9(8)
C ₍₆₎	6477(5)	4933(3)	-2357(4)	20.1(8)
C ₍₁₈₎	1288(5)	5261(3)	2522(5)	21.2(8)
C ₍₁₉₎	624(5)	4995(3)	965(5)	23.7(9)
C ₍₁₂₎	8135(5)	3981(3)	4148(4)	15.8(7)
C ₍₉₎	6042(4)	6823(3)	400(5)	17.0(8)
C ₍₂₀₎	1500(5)	4376(3)	353(5)	23.3(9)
C ₍₁₃₎	8242(5)	3197(3)	5175(4)	17.9(8)
C ₍₁₎	6616(4)	4333(2)	3331(4)	13.4(7)
C ₍₁₅₎	5285(5)	3142(3)	4501(4)	14.8(7)
C ₍₁₄₎	6894(4)	2780(4)	5341(4)	16.9(6)
C ₍₈₎	6235(4)	5909(3)	-230(4)	15.3(7)
C ₍₂₁₎	9992(6)	6292(5)	7217(6)	46.5(14)

Table S6 Anisotropic Displacement Parameters ($\text{\AA}^2 \times 10^3$) for 3a. The Anisotropic displacement factor exponent takes the form: $-2\pi^2[h^2a^*2U_{11}+2hka^*b^*U_{12}+\dots]$.

Atom	U ₁₁	U ₂₂	U ₃₃	U ₂₃	U ₁₃	U ₁₂
Br ₍₁₎	18.98(18)	21.50(17)	24.34(18)	4.8(2)	2.84(13)	5.6(2)
Cl ₍₁₎	50.4(9)	83.5(11)	61.4(9)	26.7(8)	30.8(7)	8.9(8)
Cl ₍₂₎	78.1(12)	42.9(8)	115.2(16)	-7.7(9)	36.9(11)	-10.2(8)
N ₍₁₎	14.9(15)	11.4(14)	12.2(15)	-0.4(12)	5.9(12)	1.3(12)
O ₍₂₎	20.7(12)	18.0(13)	27.0(12)	7.1(15)	12.4(10)	1.7(15)
O ₍₁₎	26.9(14)	13.8(13)	16.5(13)	-2.5(10)	11.1(11)	0.9(11)
C ₍₁₆₎	17.0(18)	9.7(16)	18.3(19)	4.1(14)	7.7(15)	-1.4(14)
C ₍₄₎	16.2(17)	19.2(19)	16.9(19)	0.0(15)	6.4(15)	-3.7(15)
C ₍₃₎	11.6(17)	17.8(18)	14.1(18)	-0.1(14)	5.0(14)	-1.1(14)
C ₍₂₎	11.2(17)	14.4(17)	14.1(18)	-0.1(14)	4.5(14)	-0.3(14)
C ₍₅₎	24(2)	21.1(19)	20(2)	-6.6(16)	9.5(16)	-5.4(16)
N ₍₂₎	18.9(16)	18.9(16)	17.6(16)	-1.0(13)	6.9(14)	-1.2(13)
C ₍₁₁₎	13.3(17)	14.7(17)	13.8(17)	-0.5(14)	4.9(14)	-0.8(14)
C ₍₁₇₎	22(2)	14.2(18)	19.1(19)	-1.9(15)	10.1(16)	-1.8(15)
C ₍₁₀₎	15.5(18)	12.2(17)	22.6(19)	-1.5(15)	6.6(16)	-0.2(14)
C ₍₇₎	16.3(19)	25(2)	16.1(19)	4.6(17)	6.0(15)	-0.8(16)
C ₍₆₎	22(2)	29(2)	10.5(17)	1.5(15)	7.5(15)	-3.8(16)
C ₍₁₈₎	20.3(19)	14.8(18)	32(2)	0.6(16)	13.1(17)	-0.2(15)
C ₍₁₉₎	16.6(19)	25(2)	29(2)	6.6(18)	6.0(17)	0.9(16)
C ₍₁₂₎	18.1(19)	15.3(17)	16.5(18)	0.9(15)	9.0(15)	-1.2(15)
C ₍₉₎	14.5(18)	15.5(18)	20.2(19)	4.5(15)	4.7(15)	-0.4(14)
C ₍₂₀₎	22(2)	29(2)	16.9(19)	1.2(16)	3.2(16)	-1.7(17)
C ₍₁₃₎	21(2)	19.2(17)	12.7(17)	0.2(15)	3.8(15)	7.3(15)
C ₍₁₎	18.9(18)	13.2(17)	10.6(17)	-2.3(14)	8.1(14)	-1.8(14)
C ₍₁₅₎	23(2)	12.1(15)	12.6(17)	0.4(13)	10.3(15)	0.2(14)
C ₍₁₄₎	25.1(16)	12.3(14)	15.3(15)	4(2)	9.5(13)	3(2)
C ₍₈₎	9.4(16)	20.6(18)	14.5(18)	2.2(15)	2.3(14)	-1.3(14)
C ₍₂₁₎	26(3)	71(4)	40(3)	13(3)	8(2)	-7(2)

Table S7 Bond Lengths for 3a.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
Br(1)	C(13)	1.896(4)	C(2)	C(1)	1.484(5)
Cl(1)	C(21)	1.769(6)	C(5)	C(6)	1.412(6)
Cl(2)	C(21)	1.721(7)	N(2)	C(20)	1.342(5)
N(1)	C(16)	1.452(5)	C(11)	C(10)	1.414(5)
N(1)	C(1)	1.386(5)	C(17)	C(18)	1.393(6)
N(1)	C(15)	1.391(5)	C(10)	C(9)	1.369(6)
O(2)	C(15)	1.243(5)	C(7)	C(6)	1.368(6)
O(1)	C(11)	1.351(4)	C(7)	C(8)	1.419(5)
C(16)	N(2)	1.324(5)	C(18)	C(19)	1.381(6)
C(16)	C(17)	1.376(5)	C(19)	C(20)	1.376(6)
C(4)	C(3)	1.418(5)	C(12)	C(13)	1.416(5)
C(4)	C(5)	1.363(5)	C(12)	C(1)	1.357(5)
C(3)	C(2)	1.430(5)	C(9)	C(8)	1.425(5)
C(3)	C(8)	1.415(5)	C(13)	C(14)	1.347(6)
C(2)	C(11)	1.378(5)	C(15)	C(14)	1.426(5)

Table S8 Bond Angles for 3a.

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C ₍₁₎	N ₍₁₎	C ₍₁₆₎	120.0(3)	C ₍₇₎	C ₍₆₎	C ₍₅₎	120.0(4)
C ₍₁₎	N ₍₁₎	C ₍₁₅₎	123.5(3)	C ₍₁₉₎	C ₍₁₈₎	C ₍₁₇₎	118.9(4)
C ₍₁₅₎	N ₍₁₎	C ₍₁₆₎	116.6(3)	C ₍₂₀₎	C ₍₁₉₎	C ₍₁₈₎	118.9(4)
N ₍₂₎	C ₍₁₆₎	N ₍₁₎	114.8(3)	C ₍₁₎	C ₍₁₂₎	C ₍₁₃₎	118.3(3)
N ₍₂₎	C ₍₁₆₎	C ₍₁₇₎	126.2(4)	C ₍₁₀₎	C ₍₉₎	C ₍₈₎	120.6(3)
C ₍₁₇₎	C ₍₁₆₎	N ₍₁₎	119.0(3)	N ₍₂₎	C ₍₂₀₎	C ₍₁₉₎	123.6(4)
C ₍₅₎	C ₍₄₎	C ₍₃₎	120.2(4)	C ₍₁₂₎	C ₍₁₃₎	Br ₍₁₎	117.8(3)
C ₍₄₎	C ₍₃₎	C ₍₂₎	122.1(3)	C ₍₁₄₎	C ₍₁₃₎	Br ₍₁₎	119.7(3)
C ₍₈₎	C ₍₃₎	C ₍₄₎	119.2(3)	C ₍₁₄₎	C ₍₁₃₎	C ₍₁₂₎	122.4(4)
C ₍₈₎	C ₍₃₎	C ₍₂₎	118.7(3)	N ₍₁₎	C ₍₁₎	C ₍₂₎	117.7(3)
C ₍₃₎	C ₍₂₎	C ₍₁₎	121.2(3)	C ₍₁₂₎	C ₍₁₎	N ₍₁₎	119.7(3)
C ₍₁₁₎	C ₍₂₎	C ₍₃₎	120.3(3)	C ₍₁₂₎	C ₍₁₎	C ₍₂₎	122.5(3)
C ₍₁₁₎	C ₍₂₎	C ₍₁₎	118.5(3)	N ₍₁₎	C ₍₁₅₎	C ₍₁₄₎	115.9(3)
C ₍₄₎	C ₍₅₎	C ₍₆₎	120.9(4)	O ₍₂₎	C ₍₁₅₎	N ₍₁₎	118.5(3)
C ₍₁₆₎	N ₍₂₎	C ₍₂₀₎	115.7(3)	O ₍₂₎	C ₍₁₅₎	C ₍₁₄₎	125.6(3)
O ₍₁₎	C ₍₁₁₎	C ₍₂₎	117.7(3)	C ₍₁₃₎	C ₍₁₄₎	C ₍₁₅₎	120.2(4)
O ₍₁₎	C ₍₁₁₎	C ₍₁₀₎	121.7(3)	C ₍₃₎	C ₍₈₎	C ₍₇₎	119.1(3)
C ₍₂₎	C ₍₁₁₎	C ₍₁₀₎	120.6(3)	C ₍₃₎	C ₍₈₎	C ₍₉₎	119.6(3)
C ₍₁₆₎	C ₍₁₇₎	C ₍₁₈₎	116.7(4)	C ₍₇₎	C ₍₈₎	C ₍₉₎	121.4(3)
C ₍₉₎	C ₍₁₀₎	C ₍₁₁₎	120.2(3)	Cl ₍₂₎	C ₍₂₁₎	Cl ₍₁₎	112.5(3)
C ₍₆₎	C ₍₇₎	C ₍₈₎	120.5(4)				

Table S9 Torsion Angles for 3a

N(1)	C(16)	C(17)	C(18)	178.4(3)	C(11)	C(10)	C(9)	C(8)	1.2(5)
N(1)	C(15)	C(14)	C(13)	0.4(5)	C(17)	C(16)	N(2)	C(20)	1.4(5)
O(2)	C(15)	C(14)	C(13)	-178.8(4)	C(17)	C(18)	C(19)	C(20)	0.7(6)
O(1)	C(11)	C(10)	C(9)	177.8(3)	C(10)	C(9)	C(8)	C(3)	0.3(5)
C(16)	N(1)	C(1)	C(2)	2.4(5)	C(10)	C(9)	C(8)	C(7)	-179.5(3)
C(16)	N(1)	C(1)	C(12)	179.7(3)	C(6)	C(7)	C(8)	C(3)	0.3(5)
C(16)	N(1)	C(15)	O(2)	-0.9(5)	C(6)	C(7)	C(8)	C(9)	-179.9(4)
C(16)	N(1)	C(15)	C(14)	179.9(3)	C(18)	C(19)	C(20)	N(2)	-0.9(6)
C(16)	N(2)	C(20)	C(19)	-0.1(6)	C(12)	C(13)	C(14)	C(15)	-1.9(6)
C(16)	C(17)	C(18)	C(19)	0.5(5)	C(13)	C(12)	C(1)	N(1)	0.4(5)
C(4)	C(3)	C(2)	C(11)	-178.9(3)	C(13)	C(12)	C(1)	C(2)	177.6(3)
C(4)	C(3)	C(2)	C(1)	2.7(5)	C(1)	N(1)	C(16)	N(2)	78.5(4)
C(4)	C(3)	C(8)	C(7)	-1.2(5)	C(1)	N(1)	C(16)	C(17)	-101.5(4)
C(4)	C(3)	C(8)	C(9)	179.0(3)	C(1)	N(1)	C(15)	O(2)	-179.2(3)
C(4)	C(5)	C(6)	C(7)	0.1(6)	C(1)	N(1)	C(15)	C(14)	1.5(5)
C(3)	C(4)	C(5)	C(6)	-1.1(6)	C(1)	C(2)	C(11)	O(1)	-1.1(5)
C(3)	C(2)	C(11)	O(1)	-179.4(3)	C(1)	C(2)	C(11)	C(10)	177.9(3)
C(3)	C(2)	C(11)	C(10)	-0.5(5)	C(1)	C(12)	C(13)	Br(1)	-177.2(3)
C(3)	C(2)	C(1)	N(1)	-100.4(4)	C(1)	C(12)	C(13)	C(14)	1.5(6)
C(3)	C(2)	C(1)	C(12)	82.3(5)	C(15)	N(1)	C(16)	N(2)	-99.9(4)
C(2)	C(3)	C(8)	C(7)	178.0(3)	C(15)	N(1)	C(16)	C(17)	80.1(4)
C(2)	C(3)	C(8)	C(9)	-1.8(5)	C(15)	N(1)	C(1)	C(2)	-179.3(3)
C(2)	C(11)	C(10)	C(9)	-1.1(5)	C(15)	N(1)	C(1)	C(12)	-2.0(5)
C(5)	C(4)	C(3)	C(2)	-177.6(3)	C(8)	C(3)	C(2)	C(11)	1.9(5)
C(5)	C(4)	C(3)	C(8)	1.6(5)	C(8)	C(3)	C(2)	C(1)	-176.4(3)
N(2)	C(16)	C(17)	C(18)	-1.6(6)	C(8)	C(7)	C(6)	C(5)	0.3(6)
N(1)	C(16)	C(17)	C(18)	178.4(3)	C(11)	C(10)	C(9)	C(8)	1.2(5)

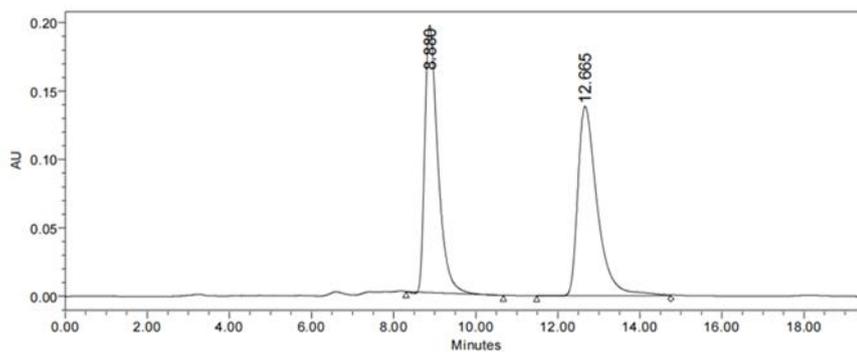
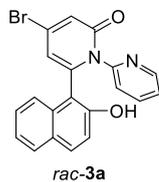
Table S10 Hydrogen Atom Coordinates ($\text{\AA}\times 10^4$) and Isotropic Displacement Parameters ($\text{\AA}^2\times 10^3$) for 3a.

Atom	<i>x</i>	<i>y</i>	<i>z</i>	U(eq)
H ₍₁₎	6082	6698	4563	27
H ₍₄₎	6613	3597	660	21
H ₍₅₎	6735	3491	-1839	25
H ₍₁₇₎	3328	5061	4493	21
H ₍₁₀₎	5851	7510	2296	20
H ₍₇₎	6203	6375	-2403	23
H ₍₆₎	6519	4879	-3389	24
H ₍₁₈₎	702	5682	2975	25
H ₍₁₉₎	-421	5236	327	28
H ₍₁₂₎	9103	4253	4033	19
H ₍₉₎	5941	7385	-223	20
H ₍₂₀₎	1024	4191	-715	28
H ₍₁₄₎	7016	2242	6020	20
H _(21A)	9224	6488	6177	56
H _(21B)	9456	5771	7618	56

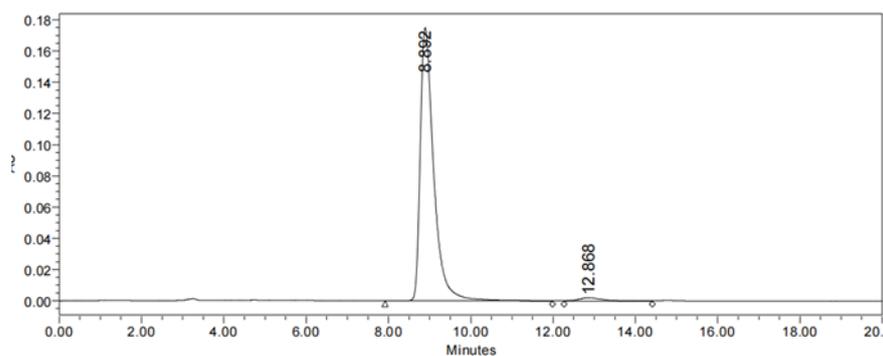
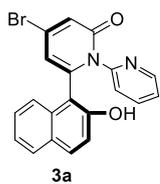
10. References

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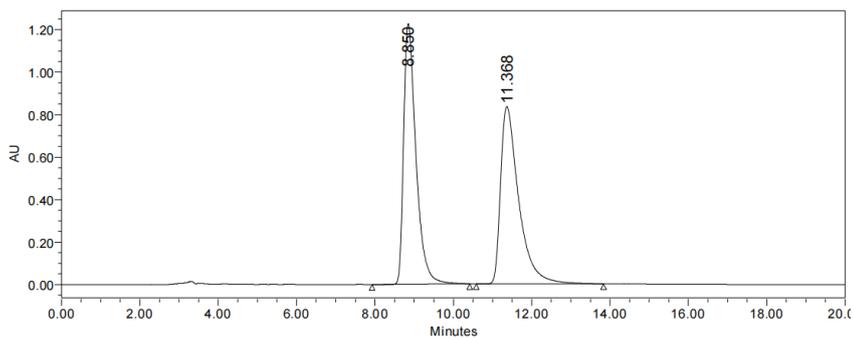
11. Copies of HPLC Spectra



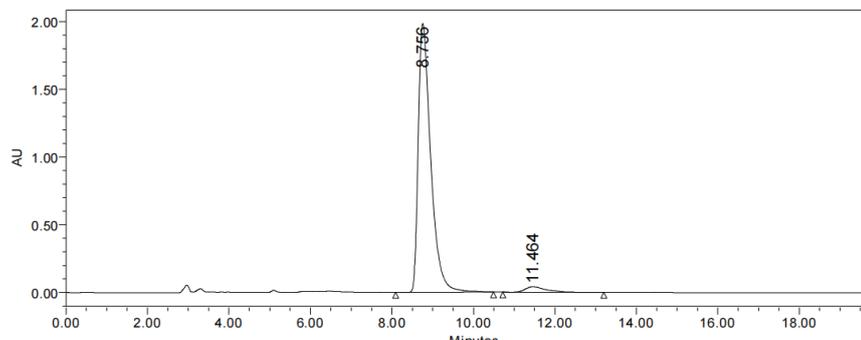
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2	12.665	138453	4545129	50.98



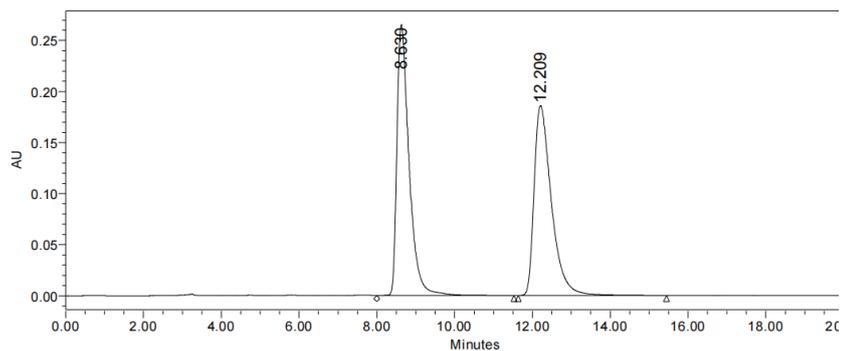
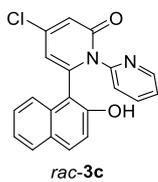
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2	12.868	2015	82468	2.02



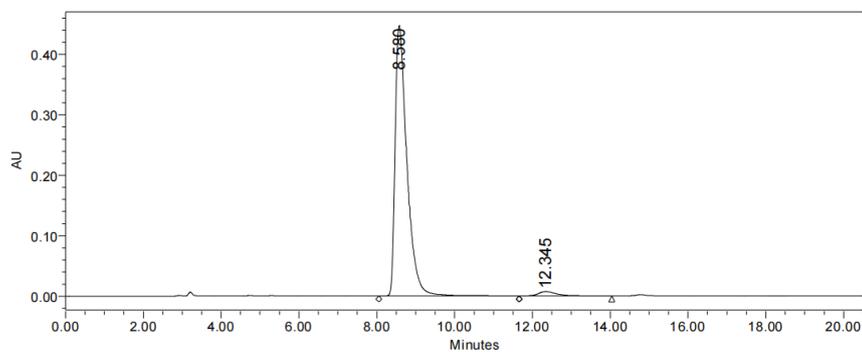
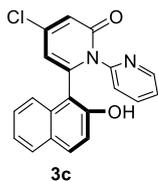
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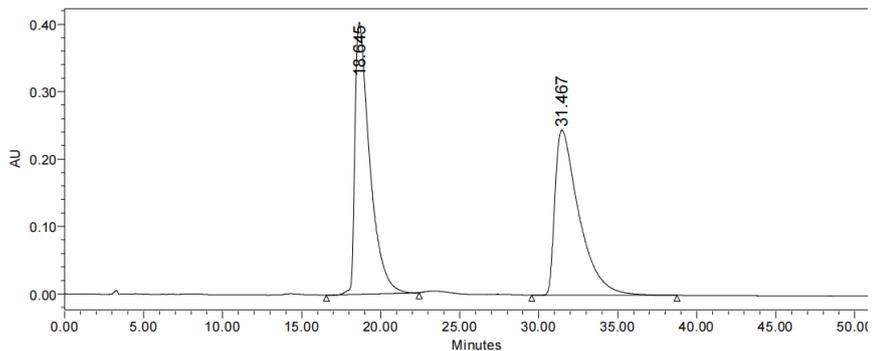
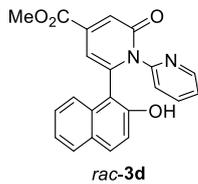
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2	11.464	40323	1469371	3.33



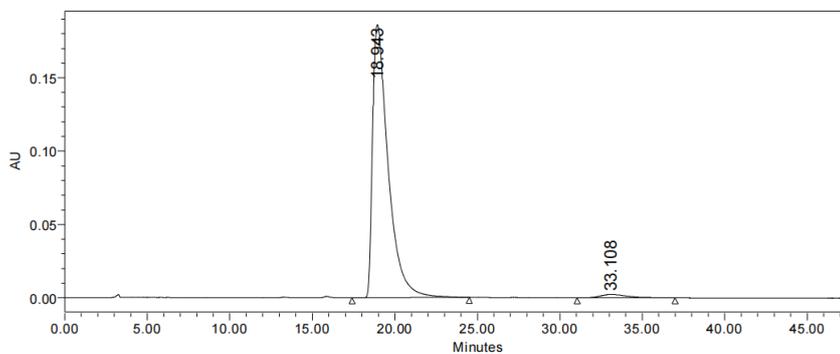
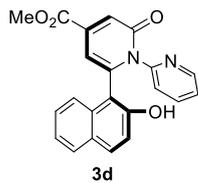
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2	12.209	186024	5711773	49.78



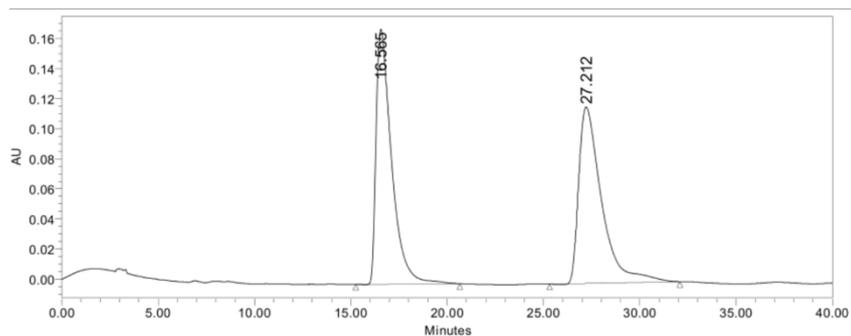
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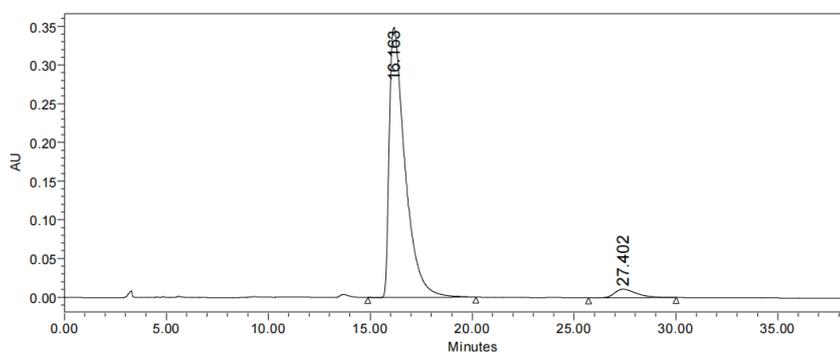
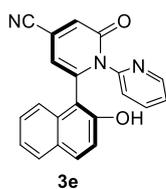
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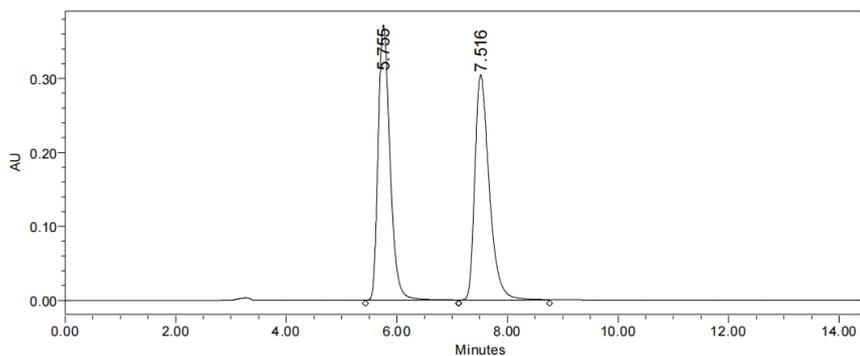
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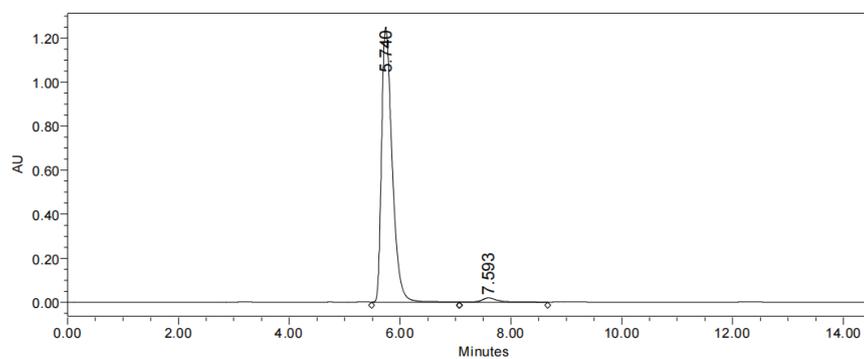
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2	27.212	117442	9716506	50.66



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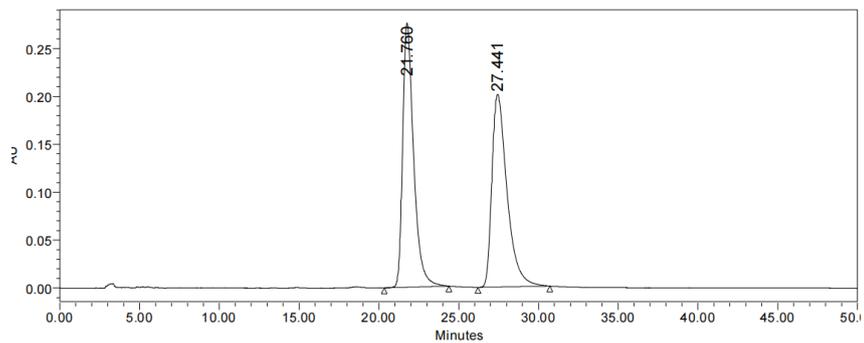


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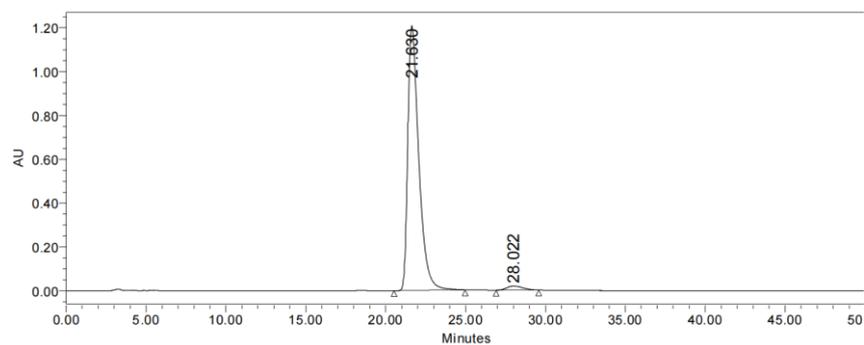
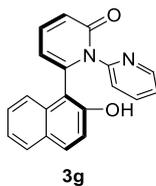


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1	5.740	16456256	97.60	1248604
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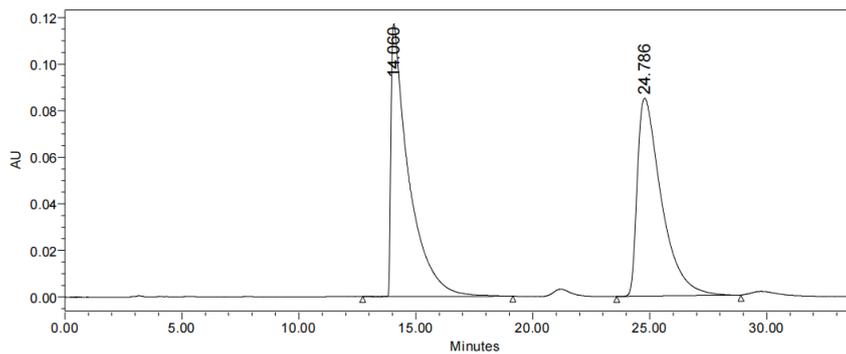
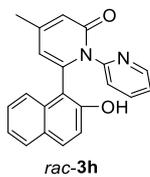
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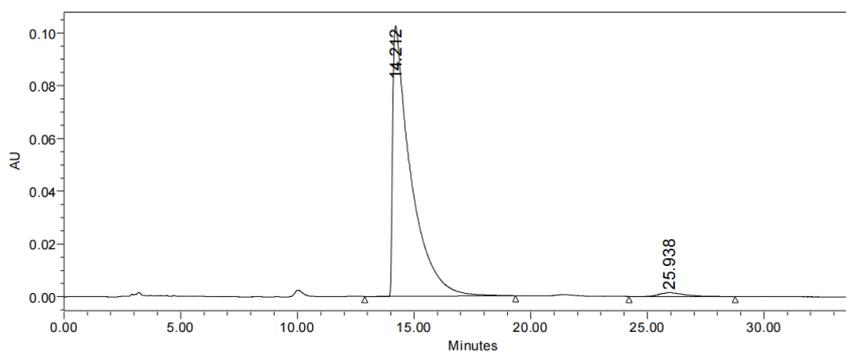
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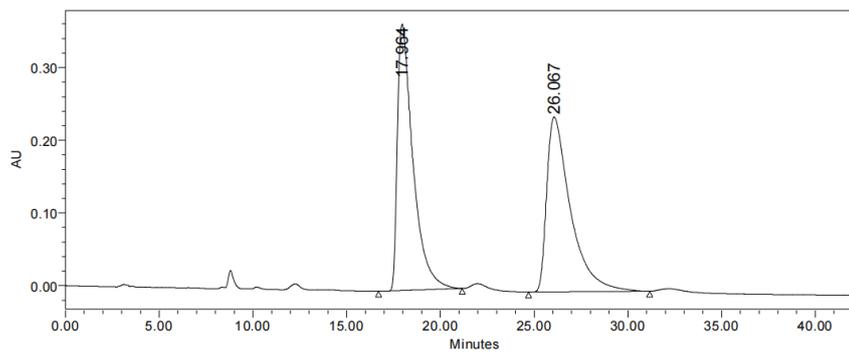
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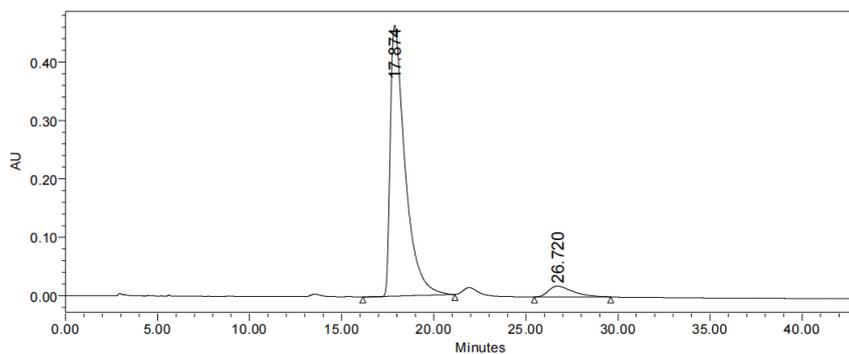
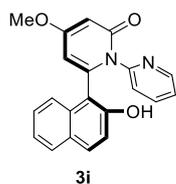
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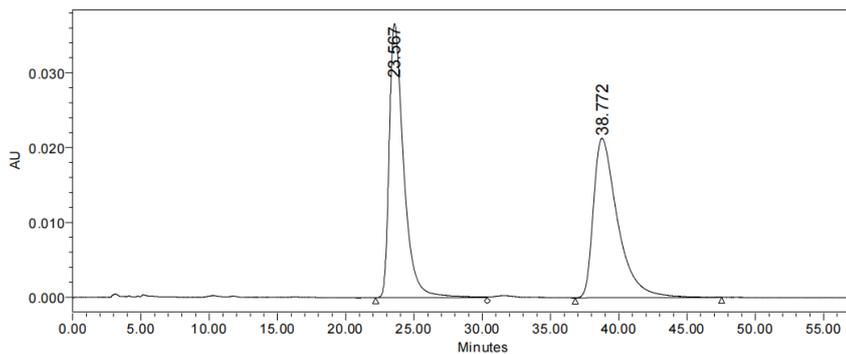
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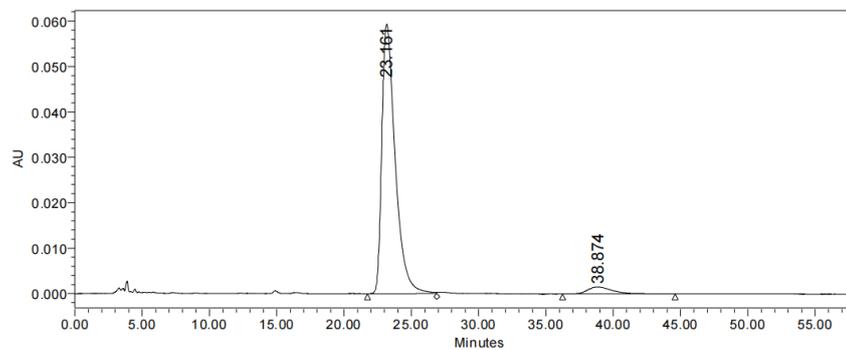
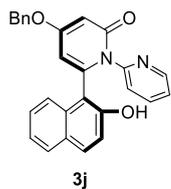
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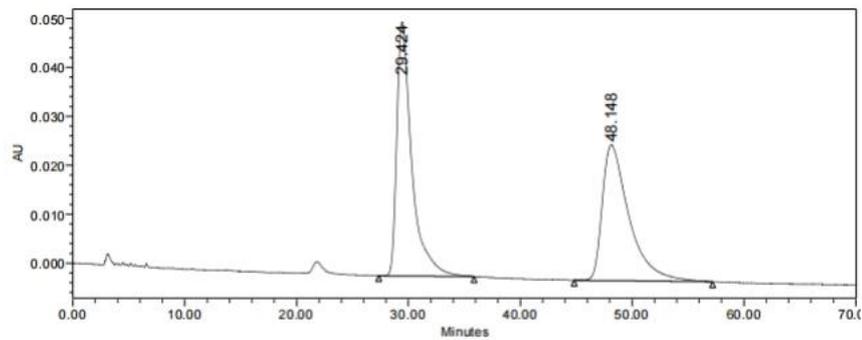
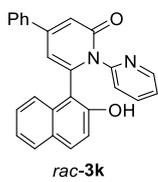
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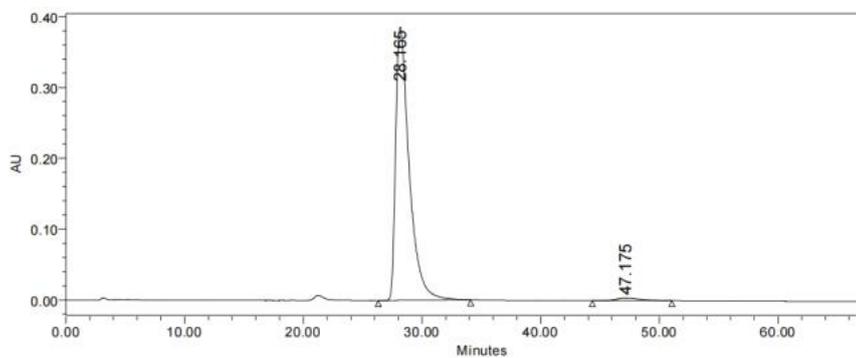
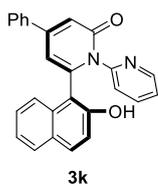
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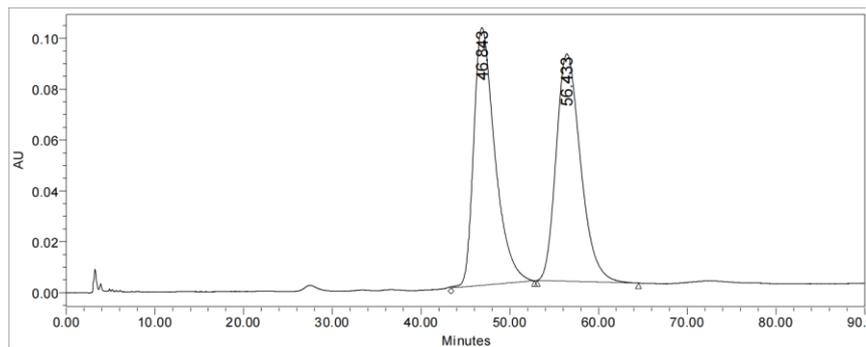
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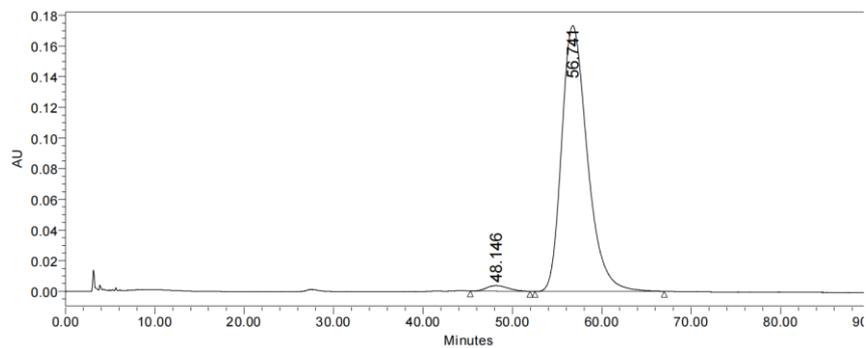
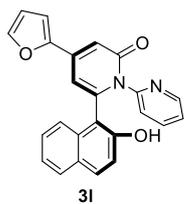
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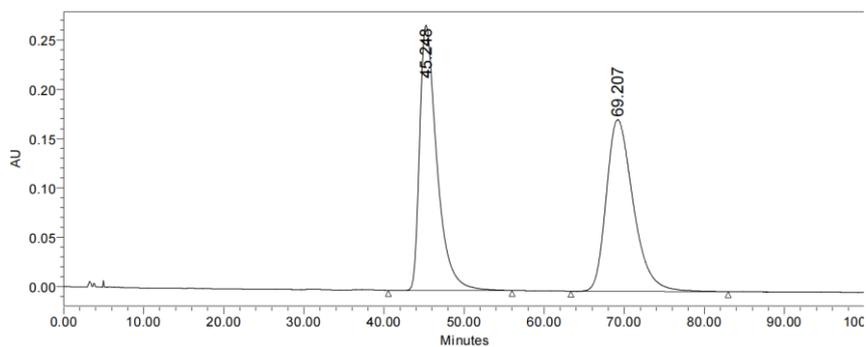
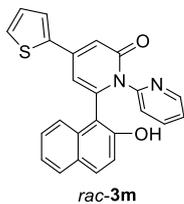
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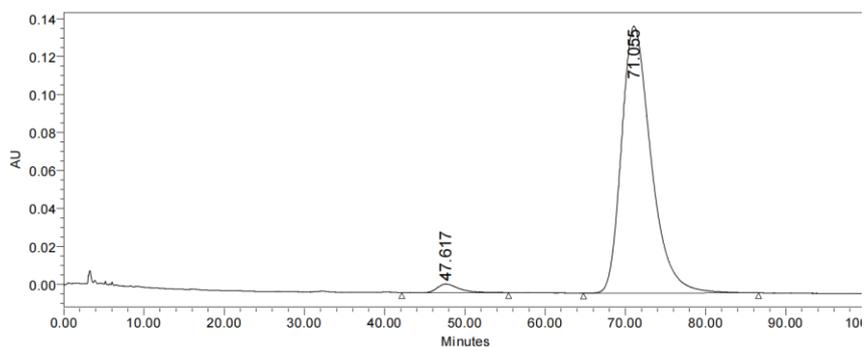
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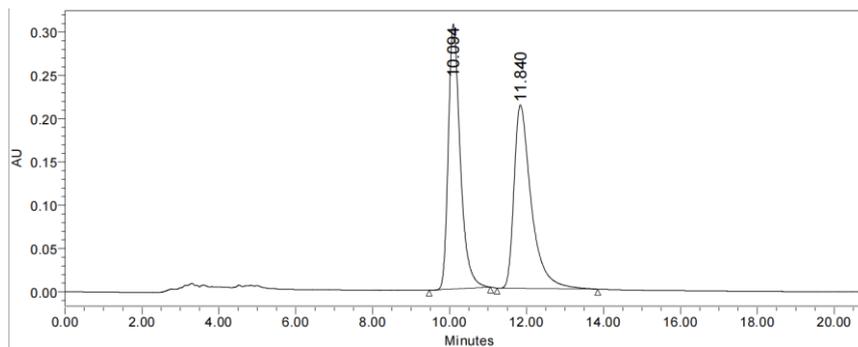
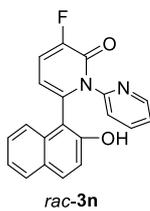
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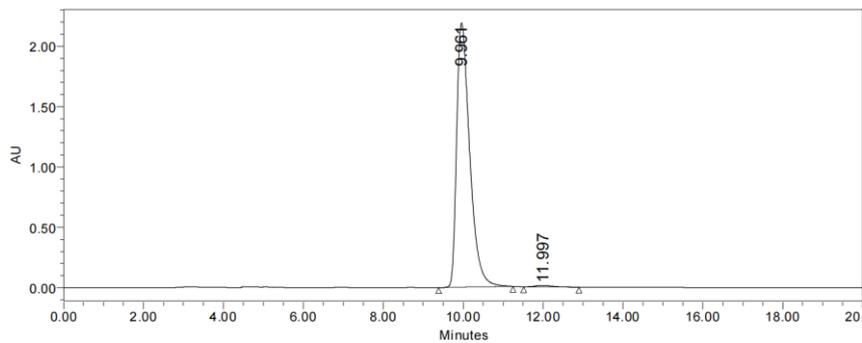
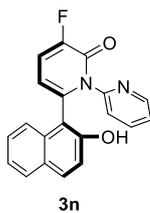
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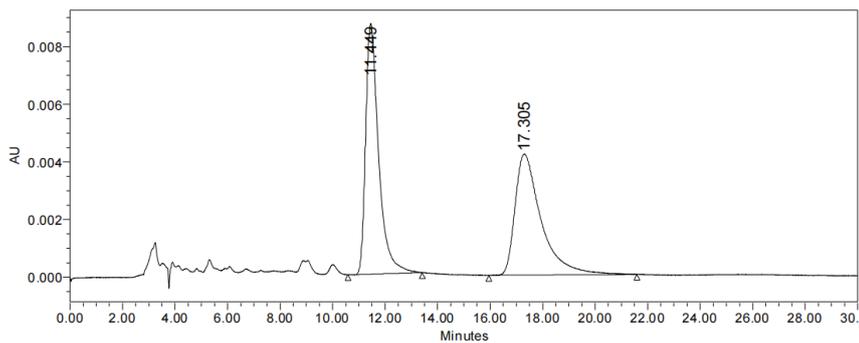
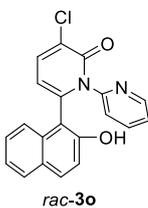
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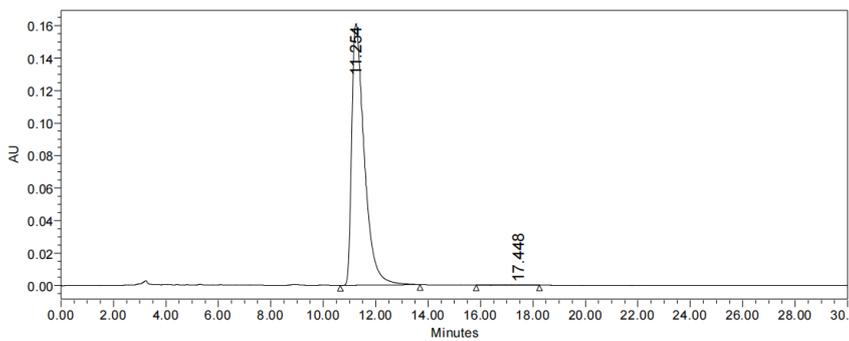
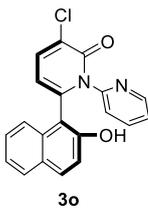
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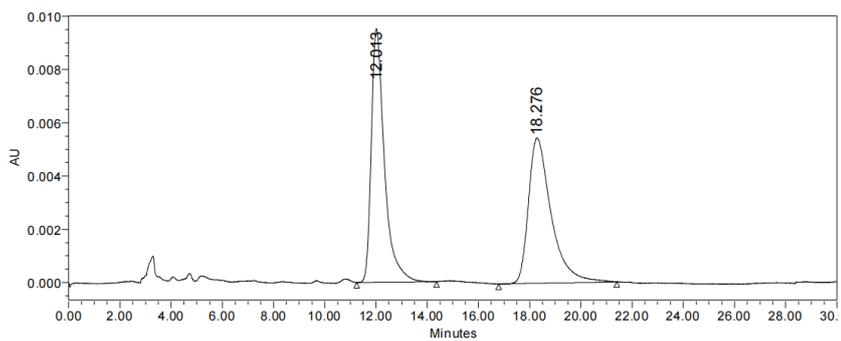
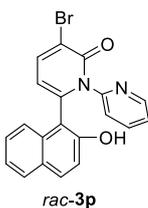
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1	9.961	2189512	49454237	99.32
2	11.997	10745	338444	0.68



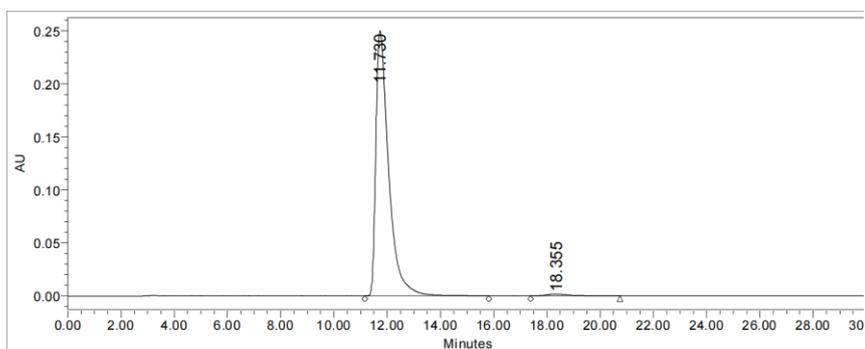
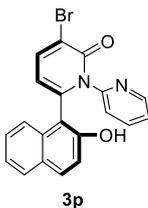
Entry	Retention Time	Height	Area	Area%
1	11.449	8686	295682	50.55
2	17.305	4201	289191	49.45



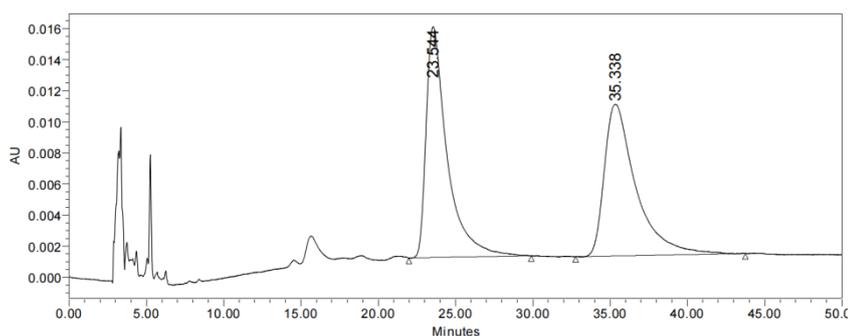
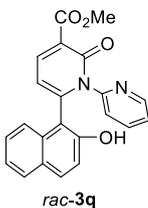
Entry	Retention Time	Height	Area	Area%
1	11.254	160941	5334411	99.85
2	17.448	153	8080	0.85



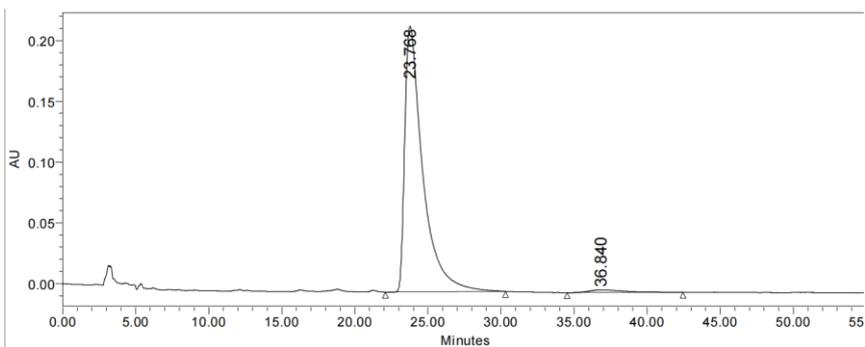
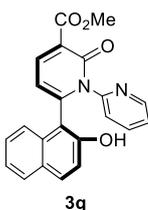
Entry	Retention Time	Height	Area	Area%
1	12.013	9508	340276	50.36
2	18.276	5451	335471	49.64



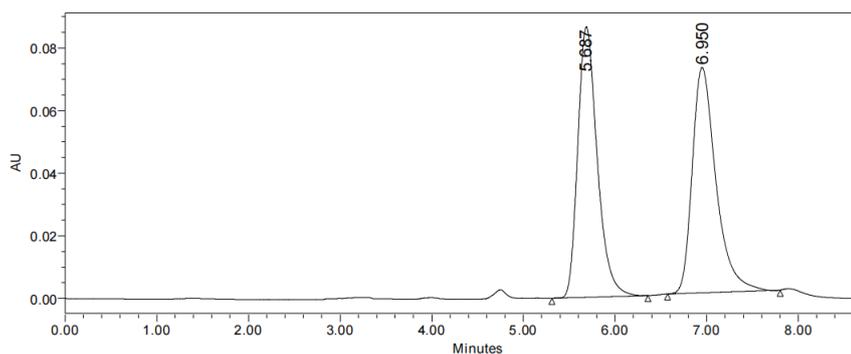
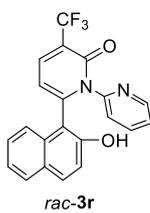
Entry	Retention Time	Height	Area	Area%
1	11.730	249965	8377618	98.87
2	18.355	1544	95982	1.13



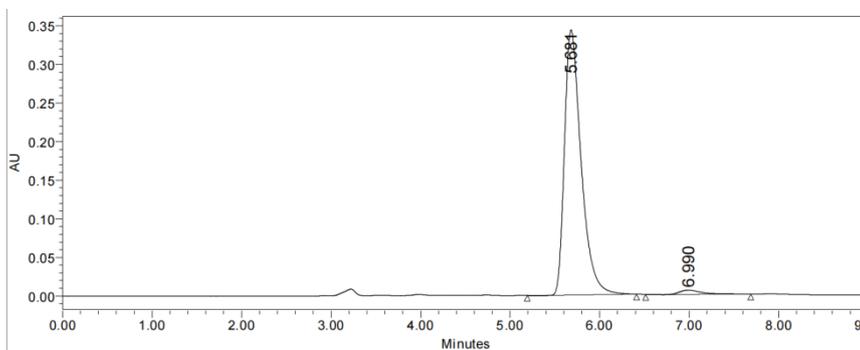
Entry	Retention Time	Height	Area	Area%
1	23.544	14827	1401878	50.29
2	35.338	9752	1385907	49.71



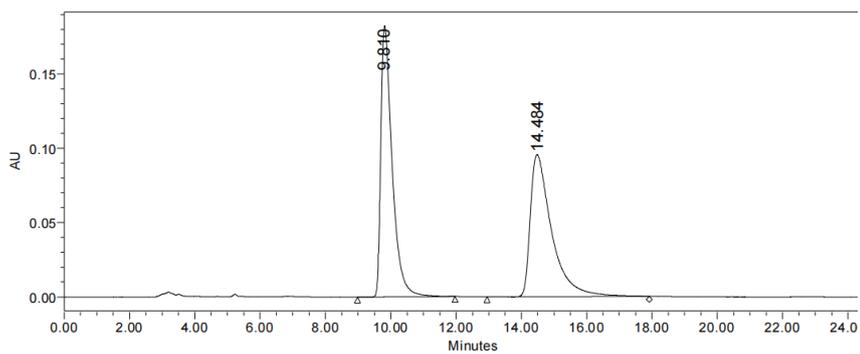
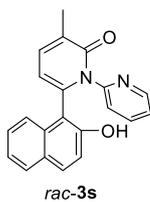
Entry	Retention Time	Height	Area	Area%
1	23.768	218661	18793886	98.18
2	36.840	2184	347453	1.82



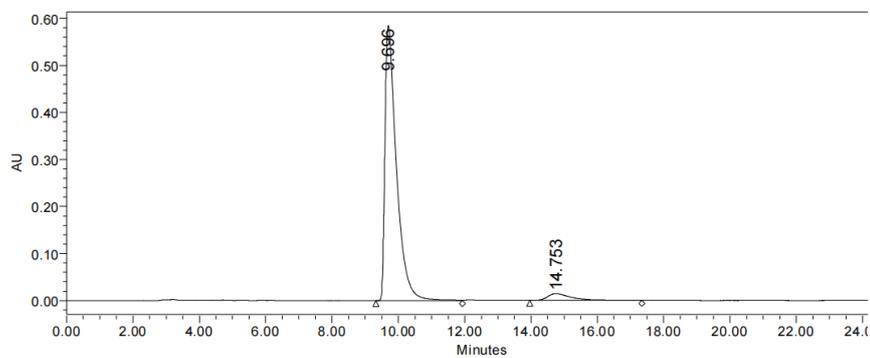
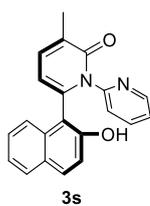
Entry	Retention Time	Height	Area	Area%
1	5.687	96403	1275539	49.63
2	6.950	72041	1294544	50.37



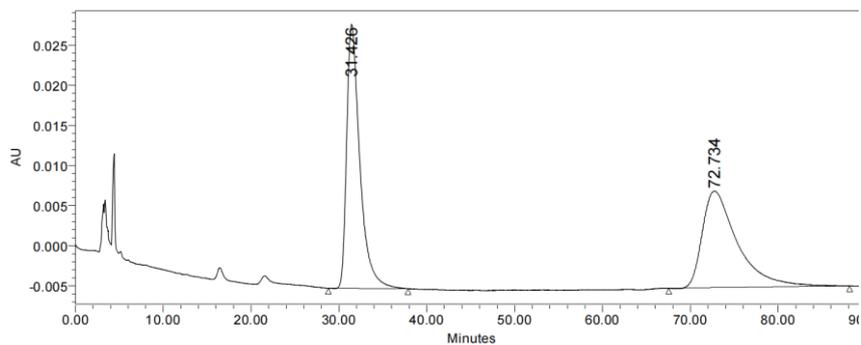
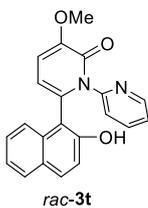
Entry	Retention Time	Height	Area	Area%
1	5.681	343605	4446429	97.69
2	6.990	5594	105348	2.31



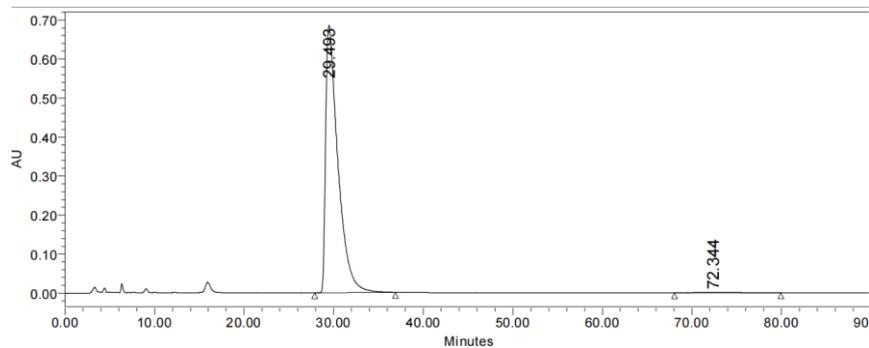
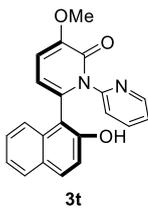
Entry	Retention Time	Height	Area	Area%
1	9.810	182260	4424039	50.54
2	14.484	95614	4329609	49.46



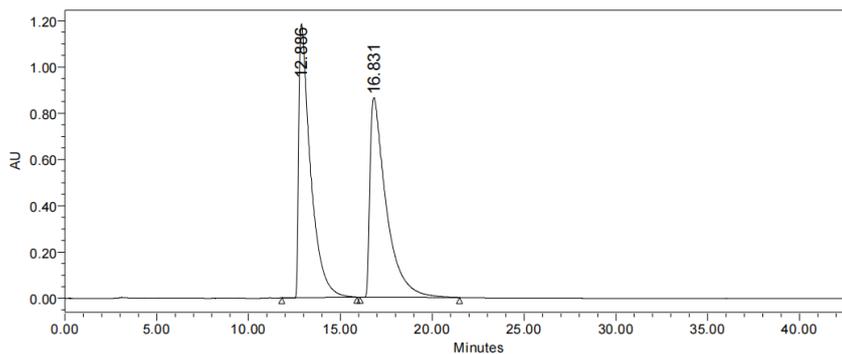
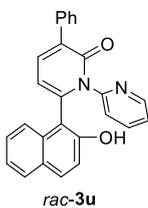
Entry	Retention Time	Height	Area	Area%
1	9.696	593821	14056309	95.07
2	14.753	14362	729655	4.93



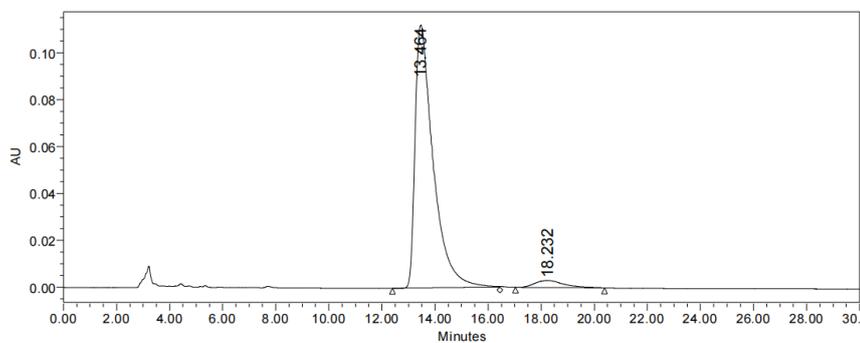
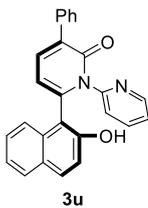
Entry	Retention Time	Height	Area	Area%
1	31.426	32866	3429741	50.60
2	72.734	12029	3347878	49.40



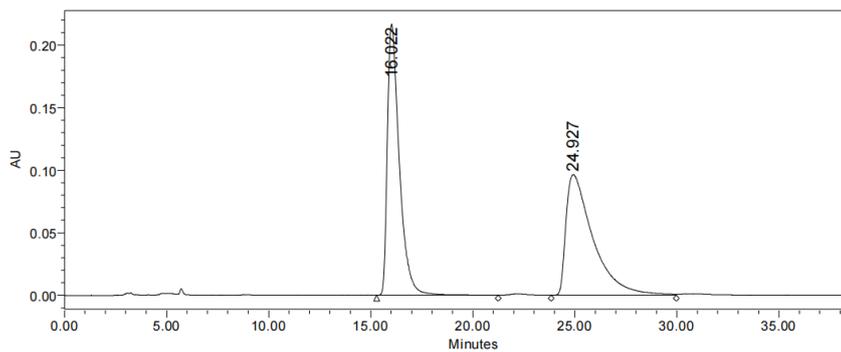
Entry	Retention Time	Height	Area	Area%
1	29.493	685472	65078480	99.32
2	72.344	1645	446188	0.68



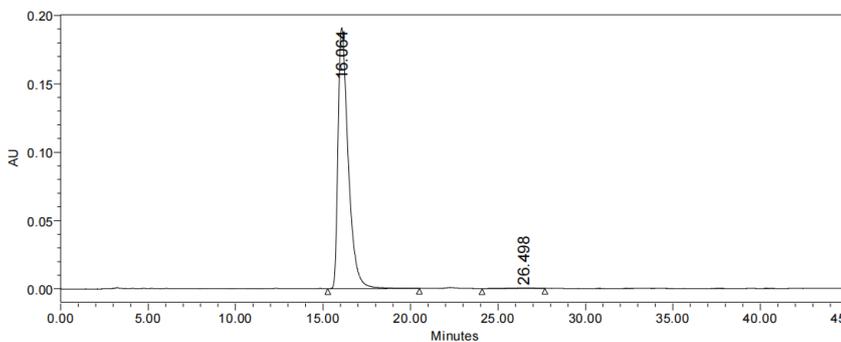
Entry	Retention Time	Height	Area	Area%
1	12.886	1182874	52702566	50.05
2	16.831	863126	52603070	49.95



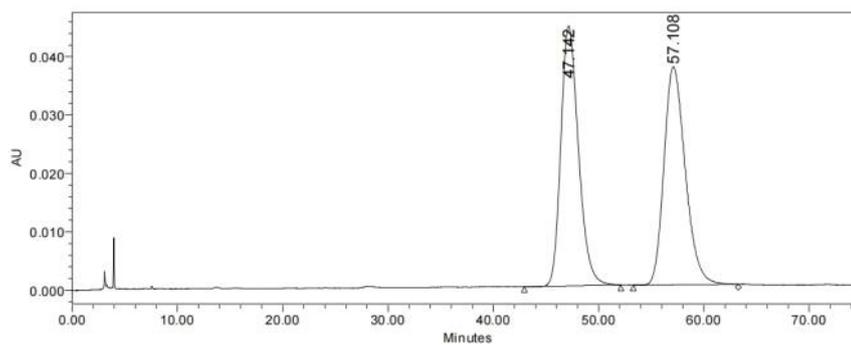
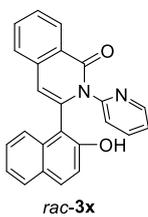
Entry	Retention Time	Height	Area	Area%
1	13.464	111918	5313823	95.88
2	18.232	2892	228618	4.12



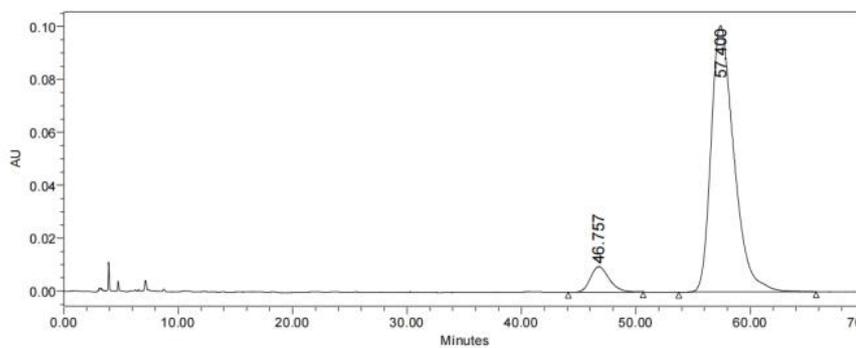
Entry	Retention Time	Height	Area	Area%
1	16.022	216604	8920332	50.18
2	24.927	96431	8855826	49.82



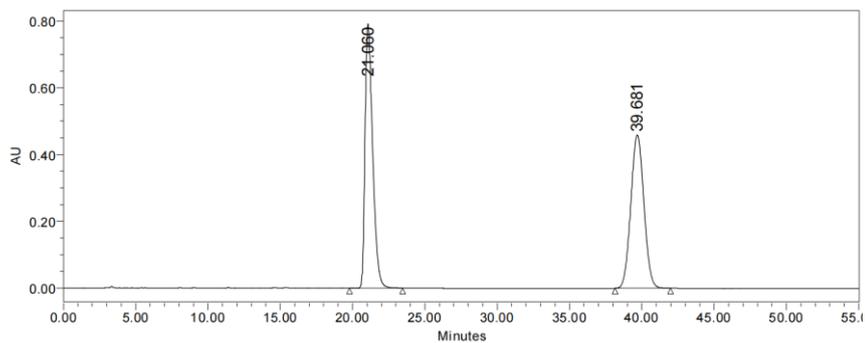
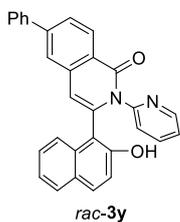
Entry	Retention Time	Height	Area	Area%
1	16.064	190712	7809255	99.63
2	26.498	359	29179	0.37



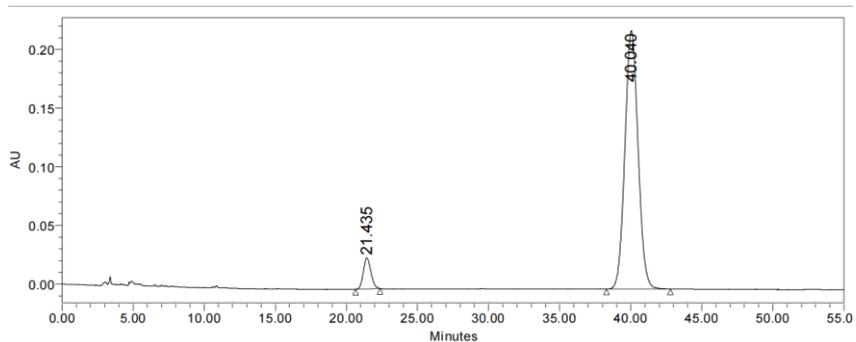
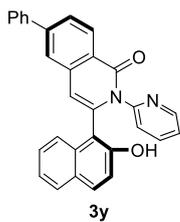
Entry	Retention Time	Height	Area	Area%
1	47.142	44508	5193337	49.79
2	57.108	37368	5237087	50.21



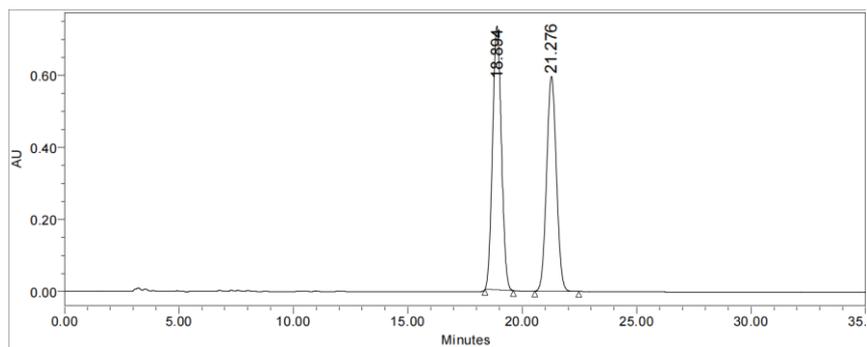
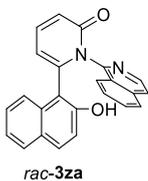
Entry	Retention Time	Height	Area	Area%
1	46.757	9656	1106804	7.32
2	57.400	100637	14018324	92.68



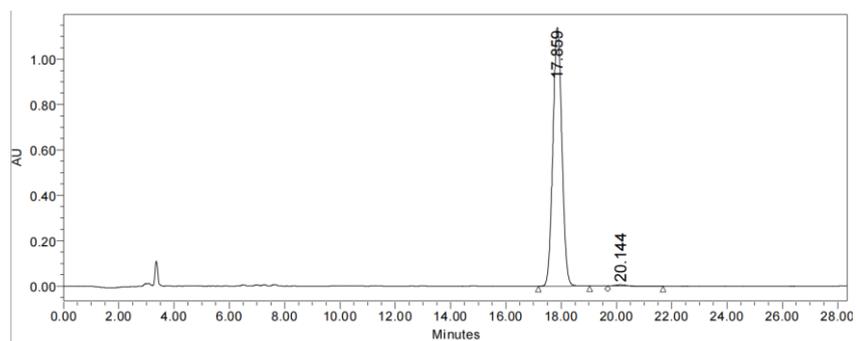
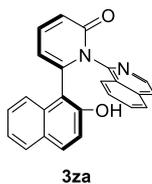
Entry	Retention Time	Height	Area	Area%
1	21.060	791204	28721834	49.82
2	39.681	459279	28932065	50.18



Entry	Retention Time	Height	Area	Area%
1	21.435	26384	979339	6.40
2	40.040	220151	14316180	93.60

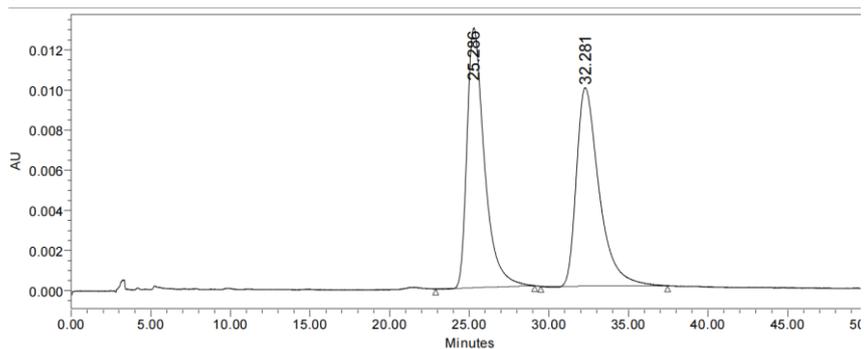
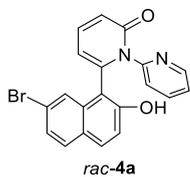


Entry	Retention Time	Height	Area	Area%
1	18.894	731931	19518169	52.08
2	21.276	596705	17958463	47.92

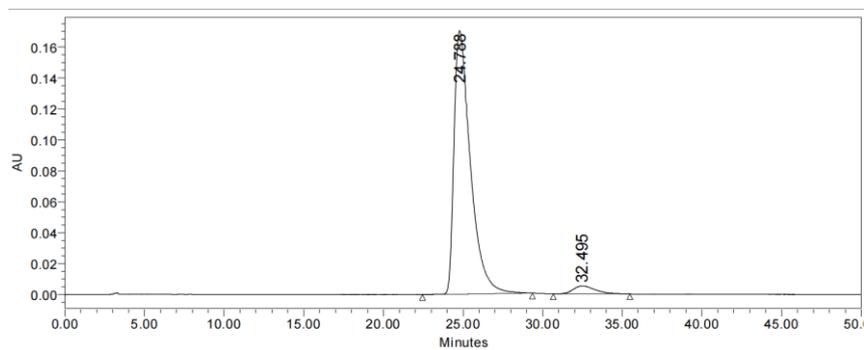
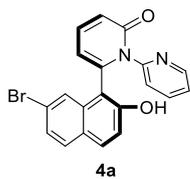


RT	Area	% Area	Height	
1	17.859	25531922	99.35	1138705
2	20.144	165846	0.65	5235

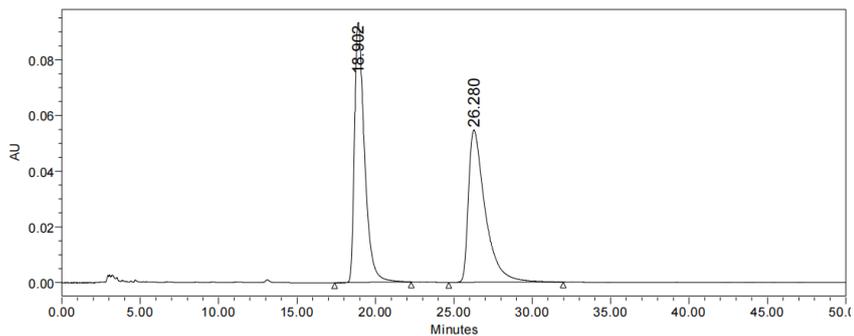
Entry	Retention Time	Height	Area	Area%
1	17.859	1138705	25531922	99.35
2	20.144	5235	165846	0.65



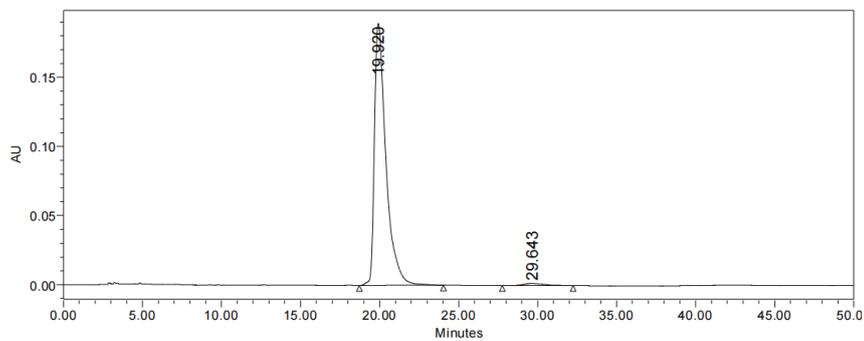
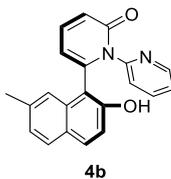
Entry	Retention Time	Height	Area	Area%
1	25.286	12951	987540	50.05
2	32.281	9897	985841	49.95



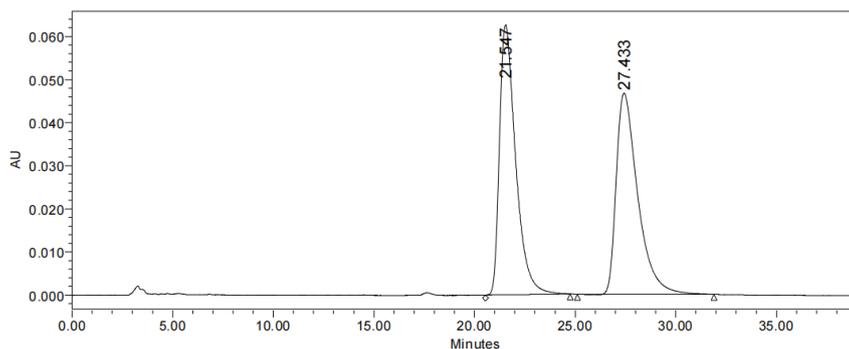
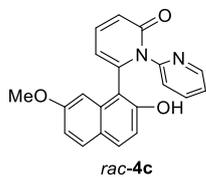
Entry	Retention Time	Height	Area	Area%
1	24.788	170168	12141549	96.11
2	32.495	5109	491970	3.89



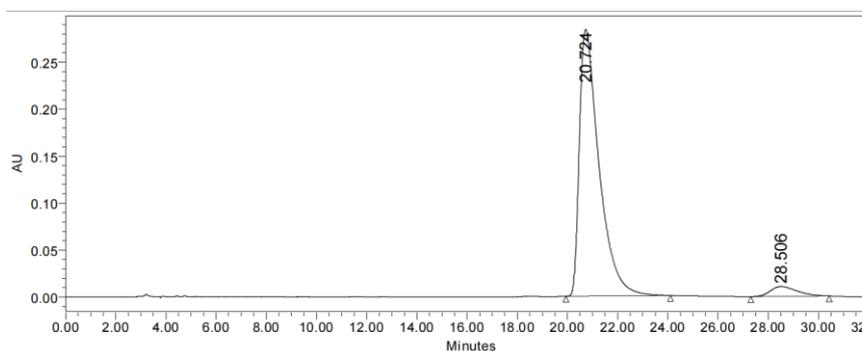
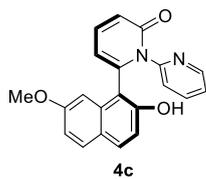
Entry	Retention Time	Height	Area	Area%
1	18.902	93278	4103121	50.07
2	26.280	54652	4092375	49.93



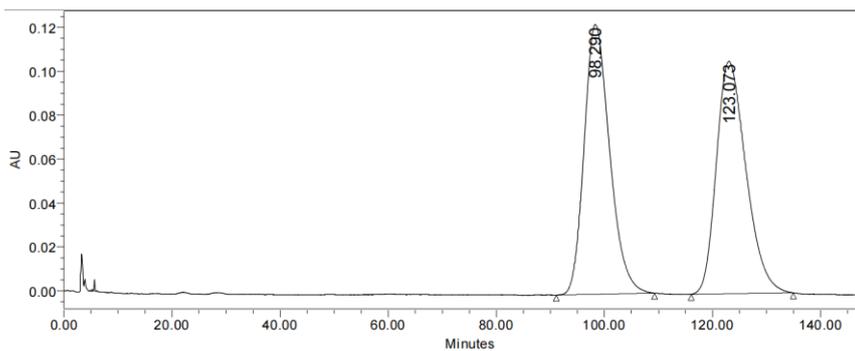
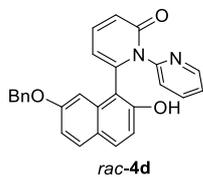
Entry	Retention Time	Height	Area	Area%
1	19.920	189198	9689039	98.44
2	29.643	1667	153371	1.56



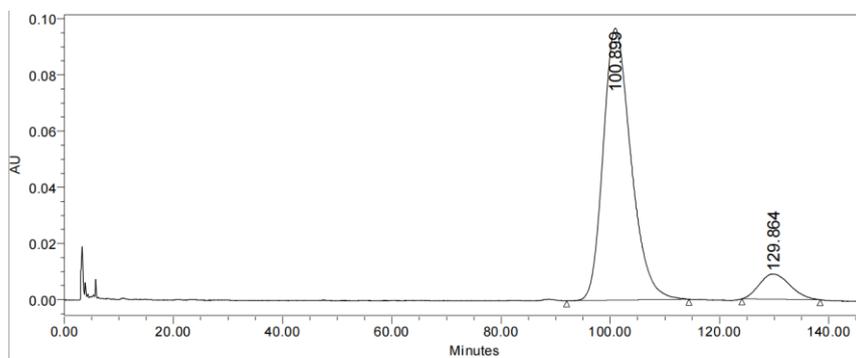
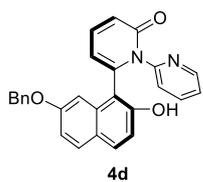
Entry	Retention Time	Height	Area	Area%
1	21.547	62585	3494139	50.11
2	27.433	46655	3478204	49.89



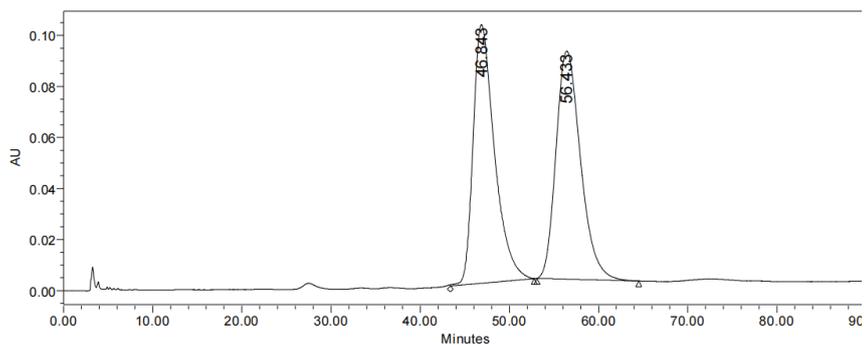
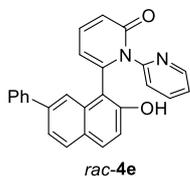
Entry	Retention Time	Height	Area	Area%
1	20.724	283936	15544860	95.27
2	28.506	10445	772154	4.73



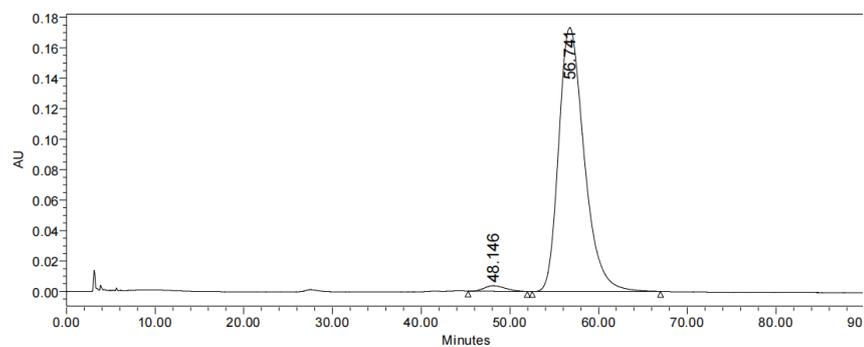
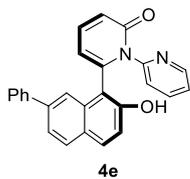
Entry	Retention Time	Height	Area	Area%
1	98.290	122753	40526135	50.19
2	123.078	105872	402122602	49.81



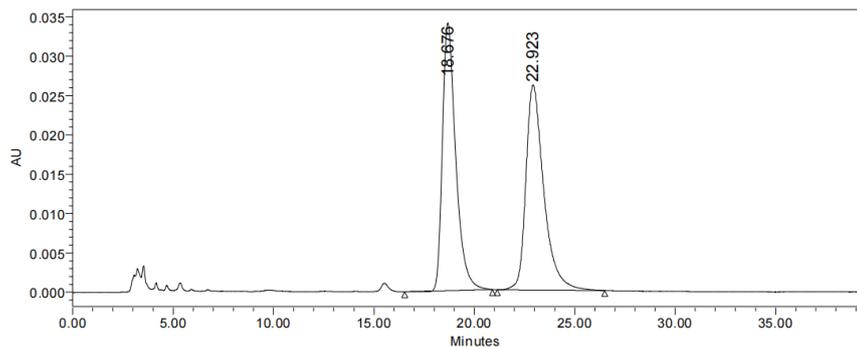
Entry	Retention Time	Height	Area	Area%
1	100.899	96666	34246659	90.73
2	129.864	8995	3499409	9.27



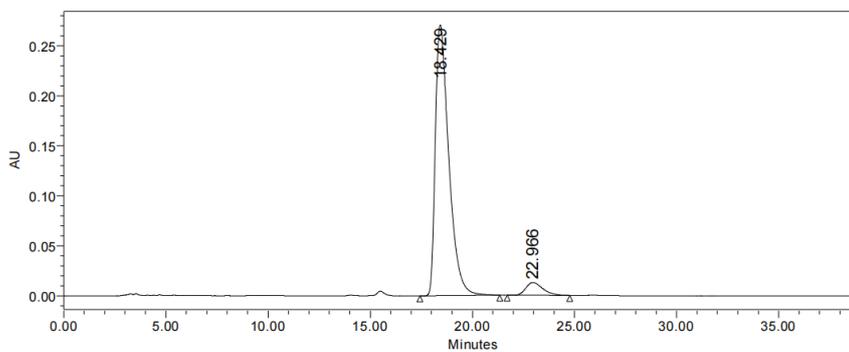
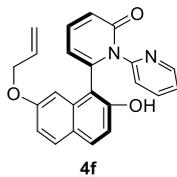
Entry	Retention Time	Height	Area	Area%
1	46.843	101297	16937194	49.82
2	56.433	889360	17059616	50.18



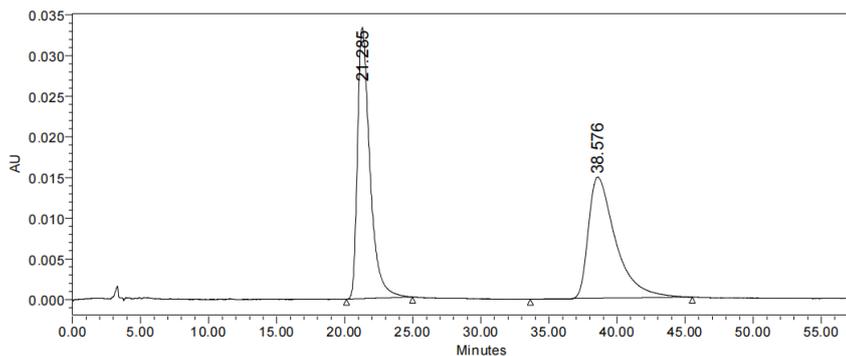
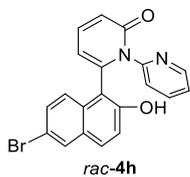
Entry	Retention Time	Height	Area	Area%
1	48.146	3669	611052	1.74
2	56.741	173346	34560337	98.26



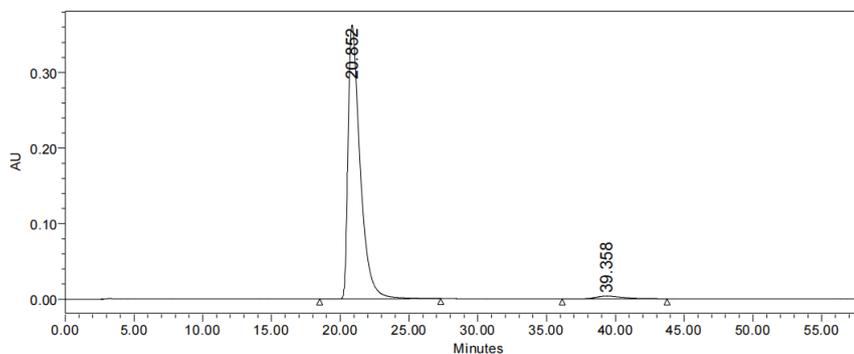
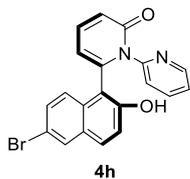
Entry	Retention Time	Height	Area	Area%
1	18.676	33973	1569132	49.42
2	22.923	26053	1606127	50.58



Entry	Retention Time	Height	Area	Area%
1	18.429	270554	12251001	94.27
2	22.966	12821	744369	5.73

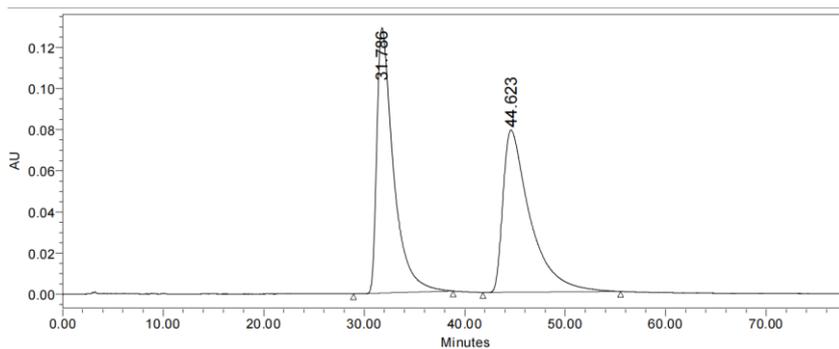
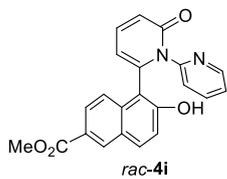


Entry	Retention Time	Height	Area	Area%
1	21.285	33354	2107279	50.34
2	38.576	14914	2078565	49.66

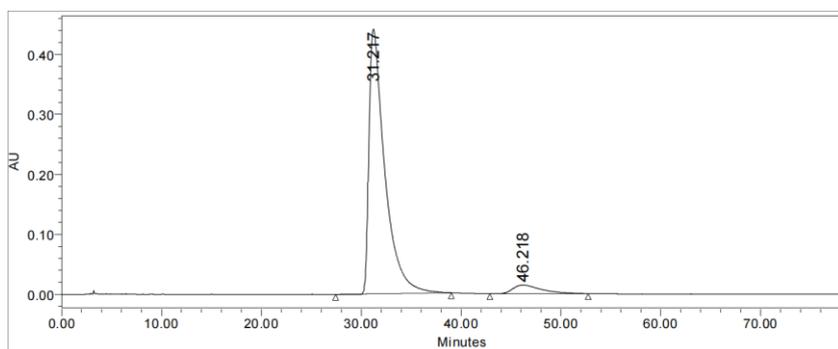
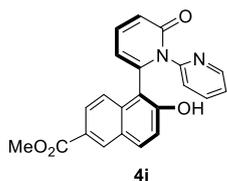


RT	Area	% Area	Height
1 20.852	22245626	97.69	362527
2 39.358	526859	2.31	3711

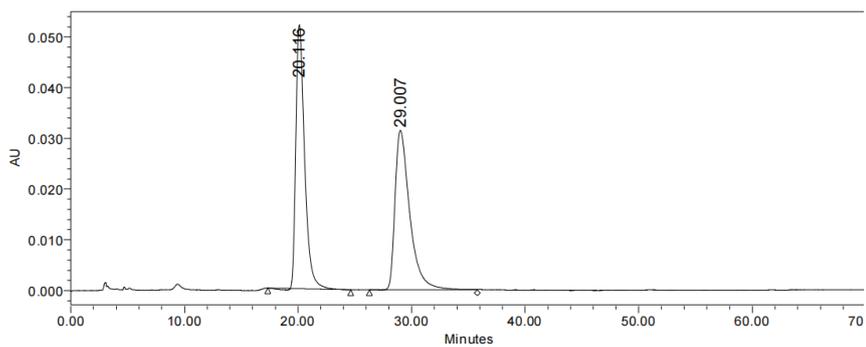
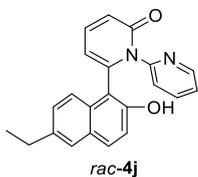
Entry	Retention Time	Height	Area	Area%
1	20.852	362527	22245626	97.69
2	39.358	3711	526859	2.31



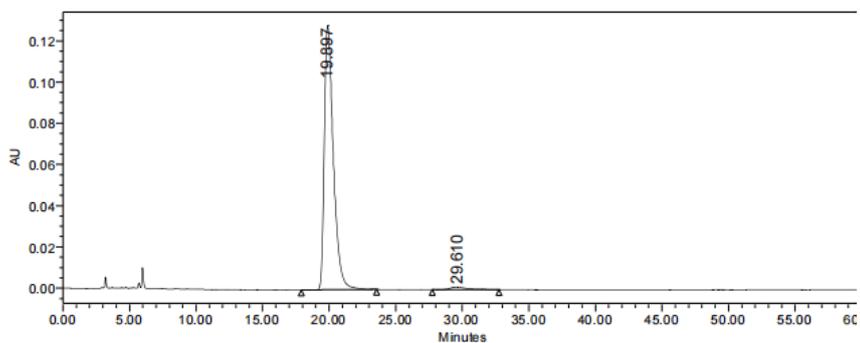
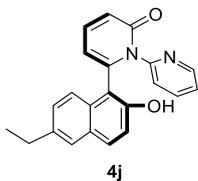
Entry	Retention Time	Height	Area	Area%
1	31.786	128954	14925587	50.15
2	44.623	78883	14837983	49.85



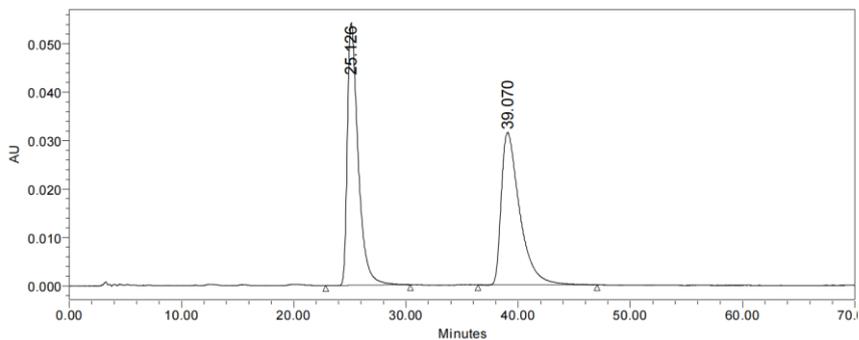
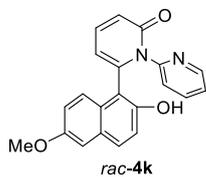
Entry	Retention Time	Height	Area	Area%
1	31.217	441194	48844888	94.54
2	46.218	14370	2822173	5.46



Entry	Retention Time	Height	Area	Area%
1	20.116	51958	2821415	49.80
2	29.007	31421	2844602	50.20

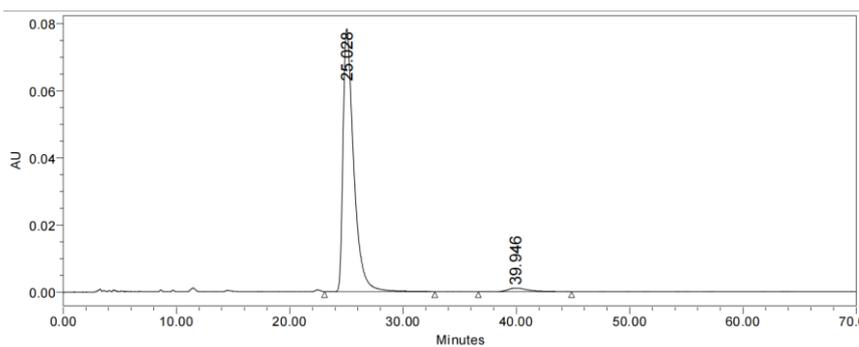
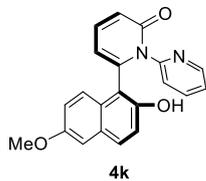


Entry	Retention Time	Height	Area	Area%
1	19.897	128250	6011804	98.19
2	29.610	1210	111036	1.81

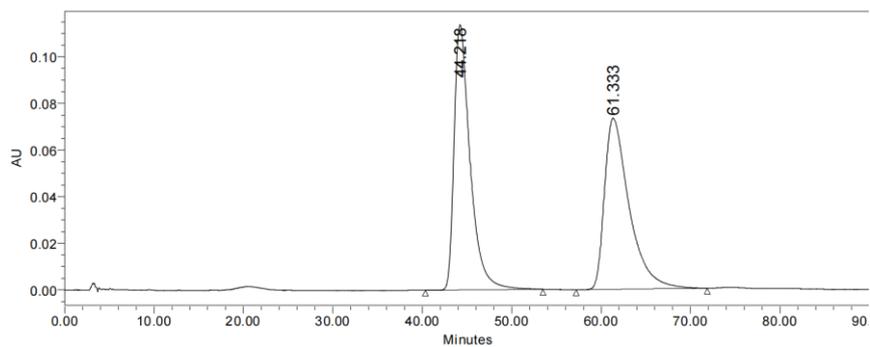
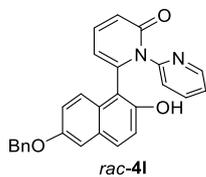


	RT	Area	% Area	Height
1	25.126	3597536	50.16	54201
2	39.070	3574711	49.84	31467

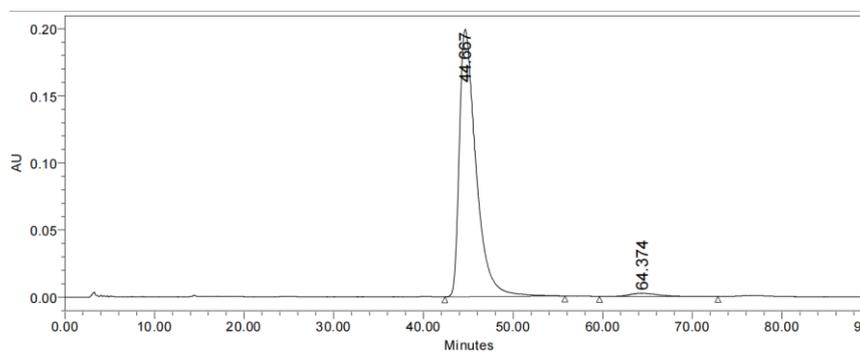
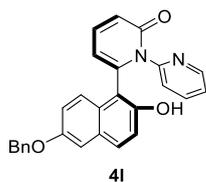
Entry	Retention Time	Height	Area	Area%
1	25.126	54201	3597536	50.16
2	39.070	31467	3574711	49.84



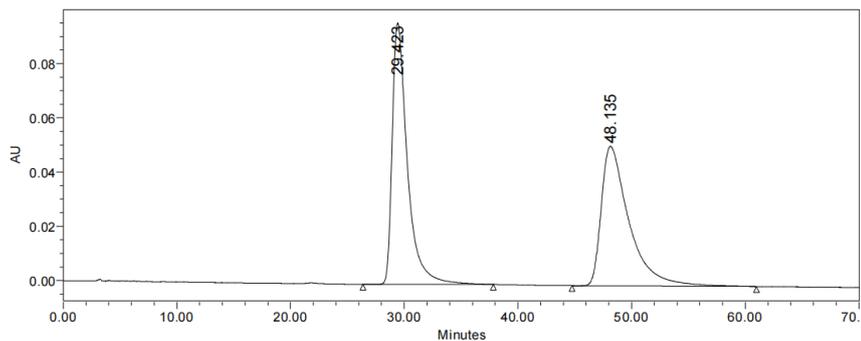
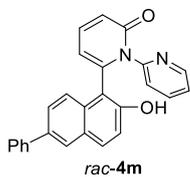
Entry	Retention Time	Height	Area	Area%
1	25.028	78259	5185712	97.47
2	39.946	1070	134332	2.53



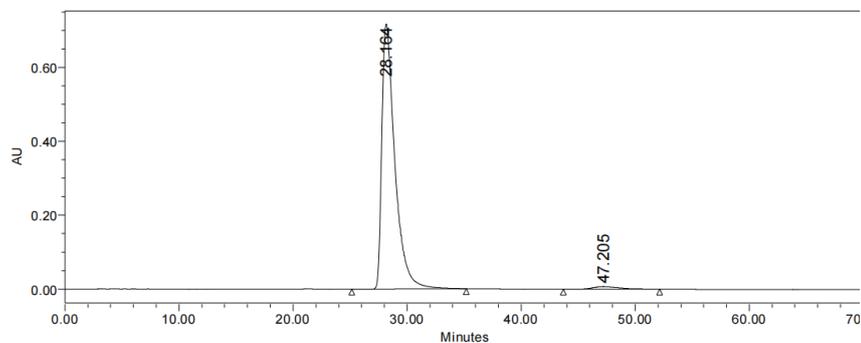
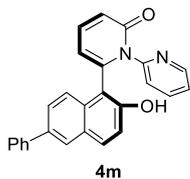
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1	44.218	113688	14188808	50.18
2	61.333	73374	14084536	49.82



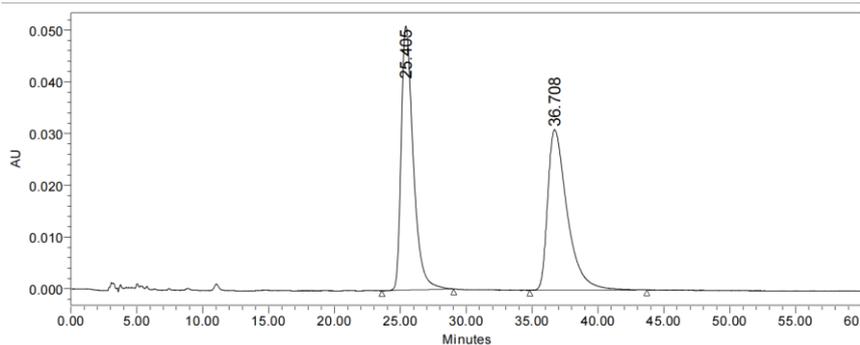
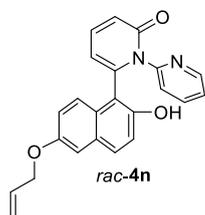
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1	44.667	199265	25575740	98.02
2	64.374	2368	517083	1.98



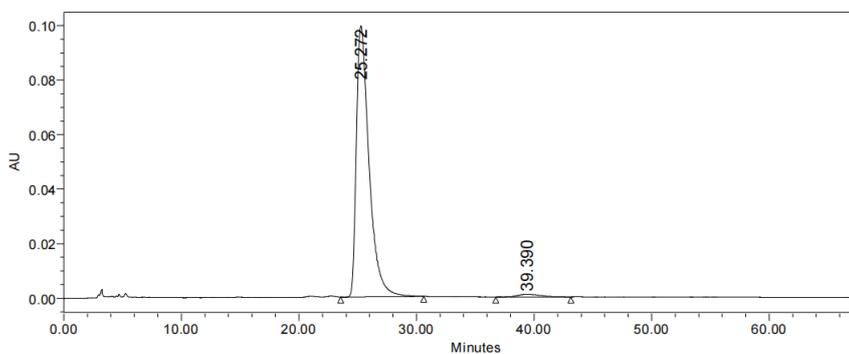
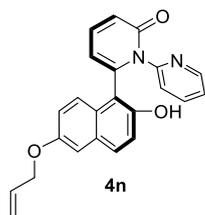
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1	29.423	96301	8825286	50.20
2	48.135	51487	8756462	49.80



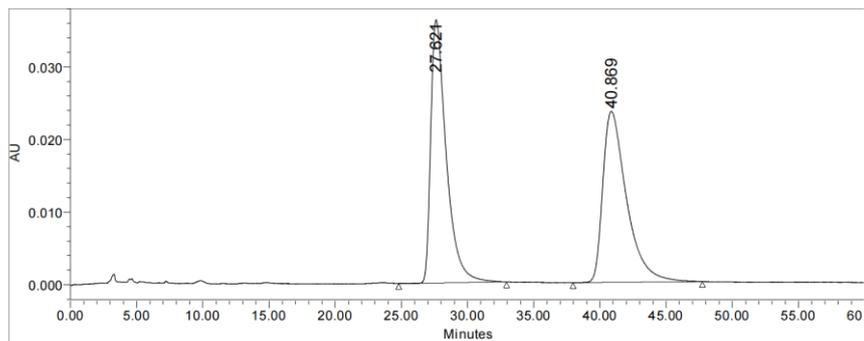
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1	28.164	716495	57395403	98.06
2	47.205	6987	1133598	1.94



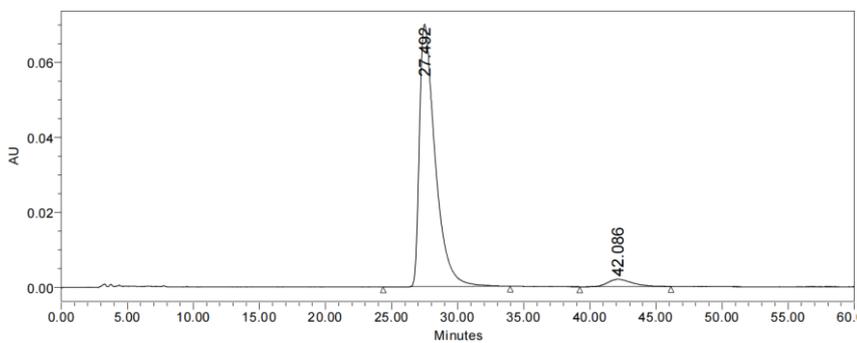
Entry	Retention Time	Height	Area	Area%
1	25.405	50987	3241158	50.30
2	36.708	31031	3202674	49.70



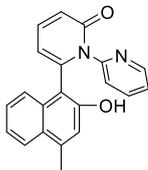
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1	25.272	99319	7512959	98.29
2	39.390	978	130524	1.71



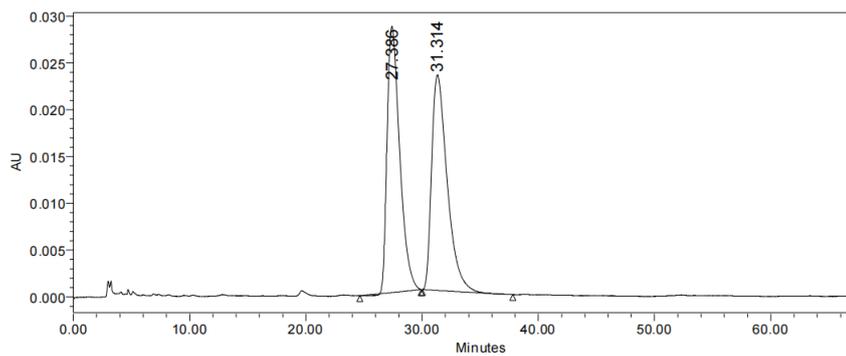
Entry	Retention Time	Height	Area	Area%
1	27.621	36253	2962999	50.12
2	40.869	23578	2949058	49.88



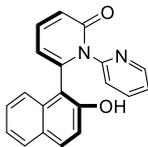
Entry	Retention Time	Height	Area	Area%
1	27.492	70023	5762020	95.72
2	42.086	1971	257434	4.28



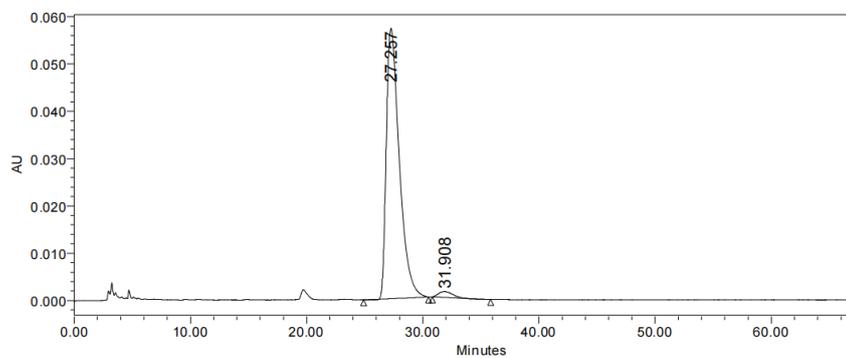
rac-4p



Entry	Retention Time	Height	Area	Area%
1	27.386	28418	2150069	50.00
2	31.314	23020	2150158	50.00



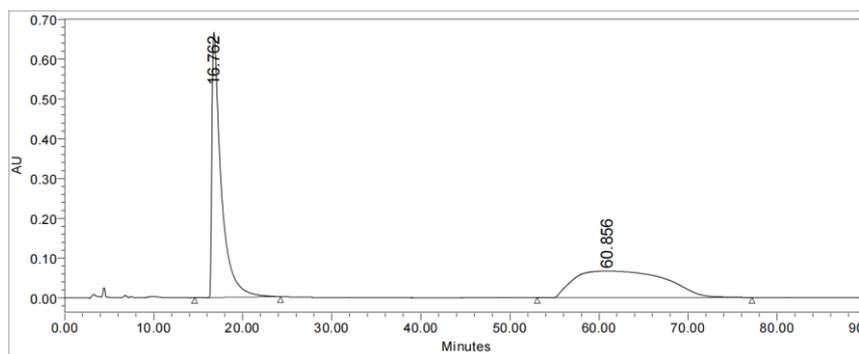
4p



Entry	Retention Time	Height	Area	Area%
1	27.257	57084	4428576	97.56
2	31.908	1254	110778	2.44



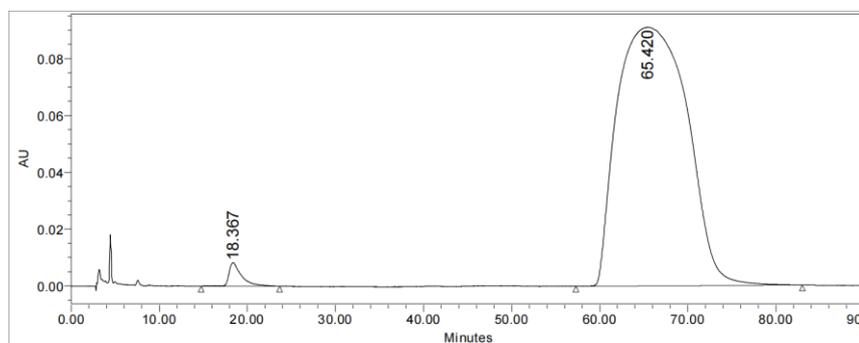
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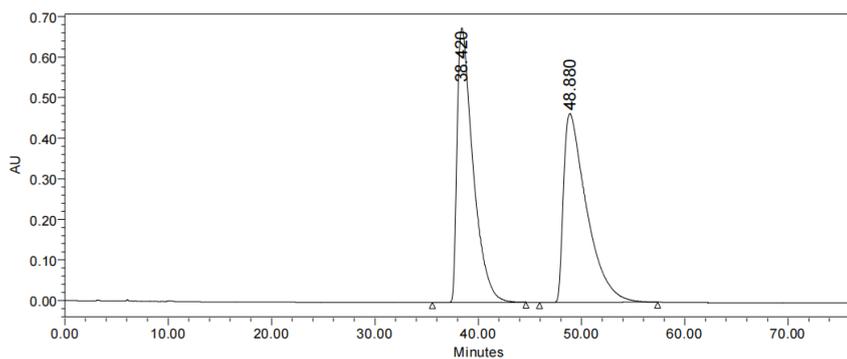
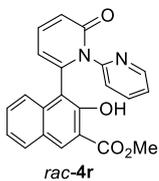
Entry	Retention Time	Height	Area	Area%
1	16.762	665793	48568018	50.62
2	60.856	66413	47380864	49.38



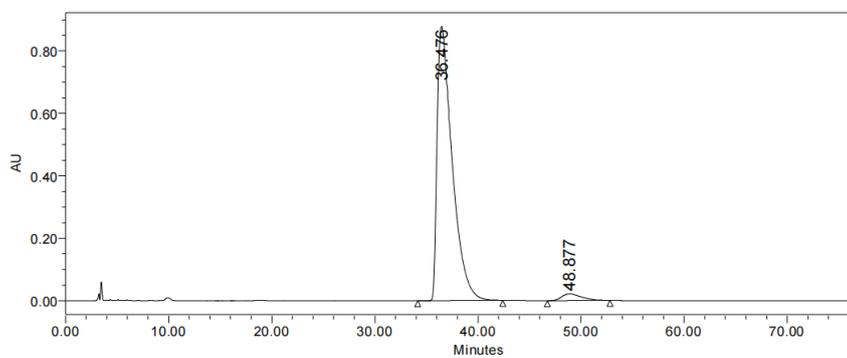
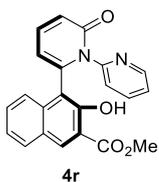
4q



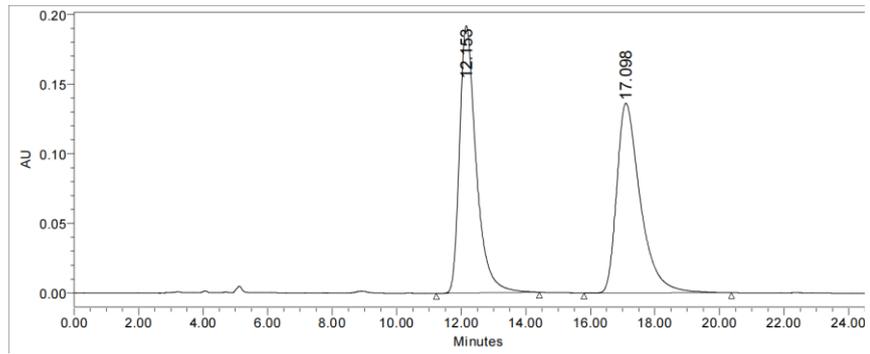
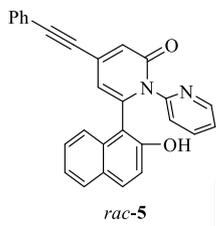
Entry	Retention Time	Height	Area	Area%
1	18.367	8225	801471	1.56
2	65.420	91002	50504044	98.44



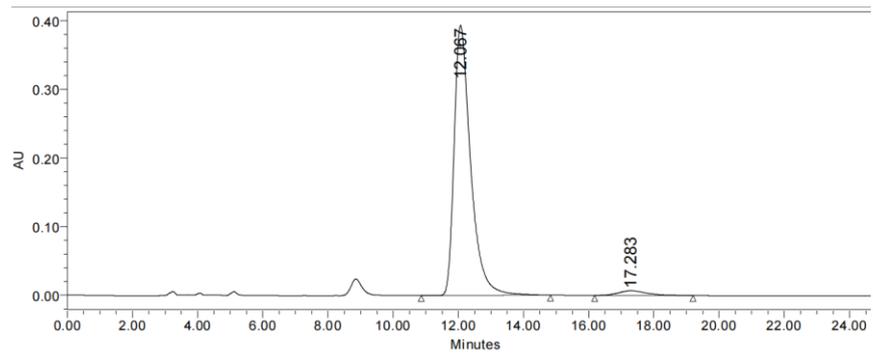
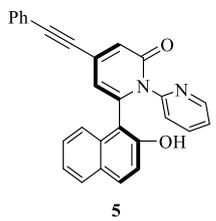
Entry	Retention Time	Height	Area	Area%
1	38.420	676482	72904338	50.15
2	48.880	465535	72457761	49.85



Entry	Retention Time	Height	Area	Area%
1	36.476	877590	86601583	96.89
2	48.877	21261	2843255	3.11

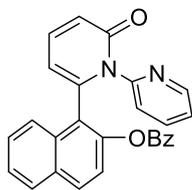


Entry	Retention Time	Height	Area	Area%
1	12.153	191844	6903244	49.87
2	17.098	136246	6938316	50.13

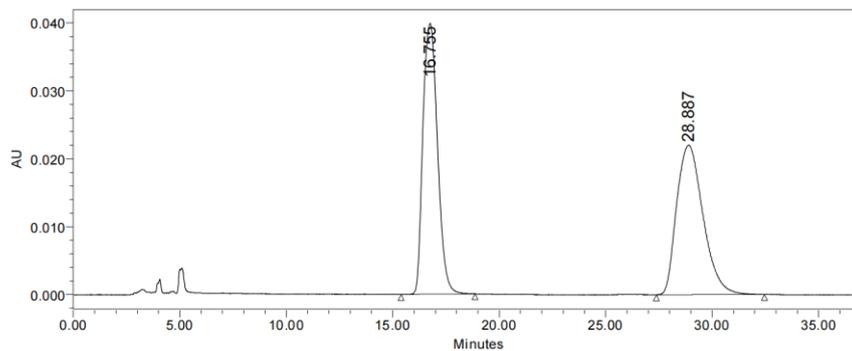


RT	Area	% Area	Height
1	13929006	97.01	393633
2	429404	2.99	6941

Entry	Retention Time	Height	Area	Area%
1	12.067	393633	13929006	97.01
2	17.283	6941	429404	2.99



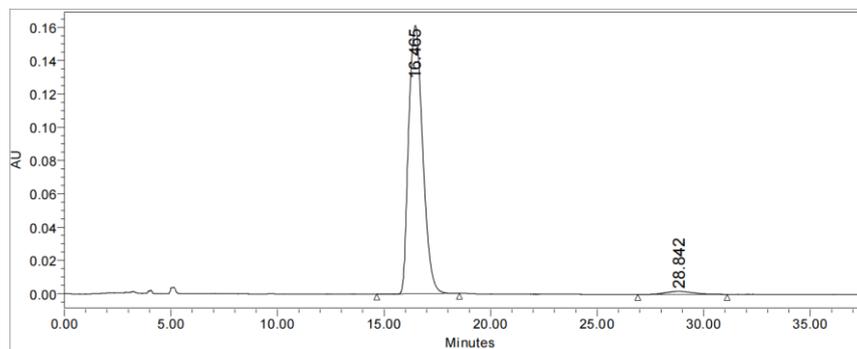
rac-6



Entry	Retention Time	Height	Area	Area%
1	16.755	39862	1901282	50.06
2	28.887	22028	1896607	49.94

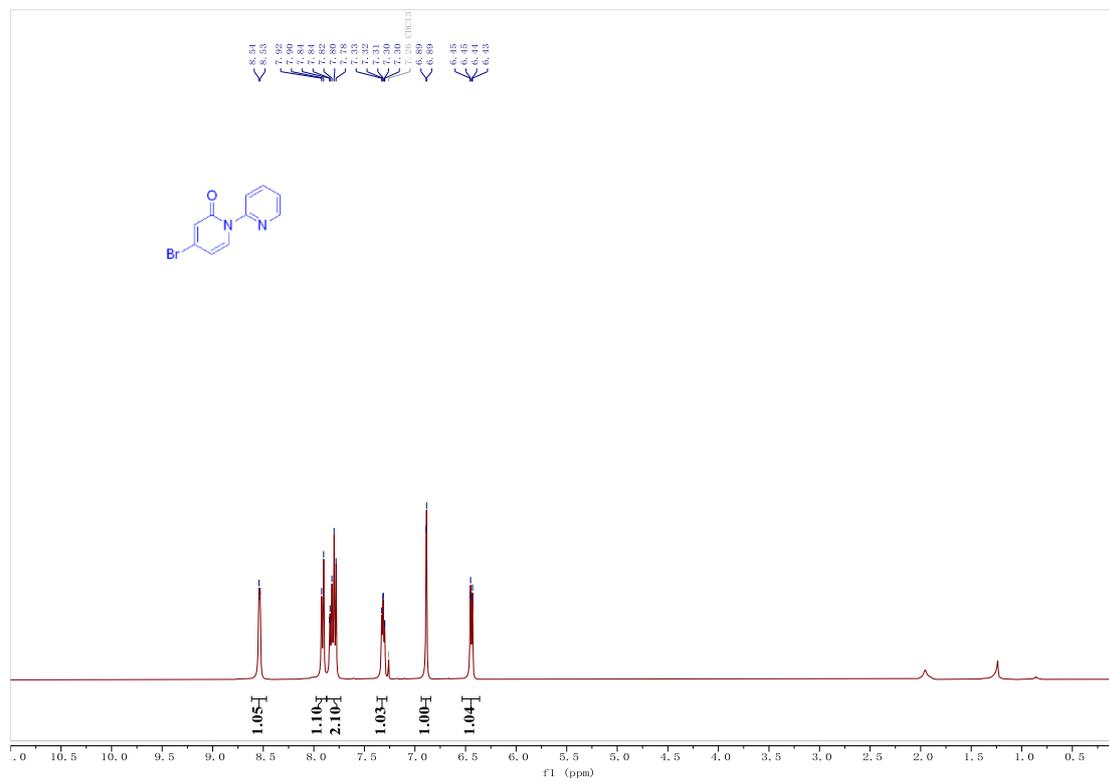


6

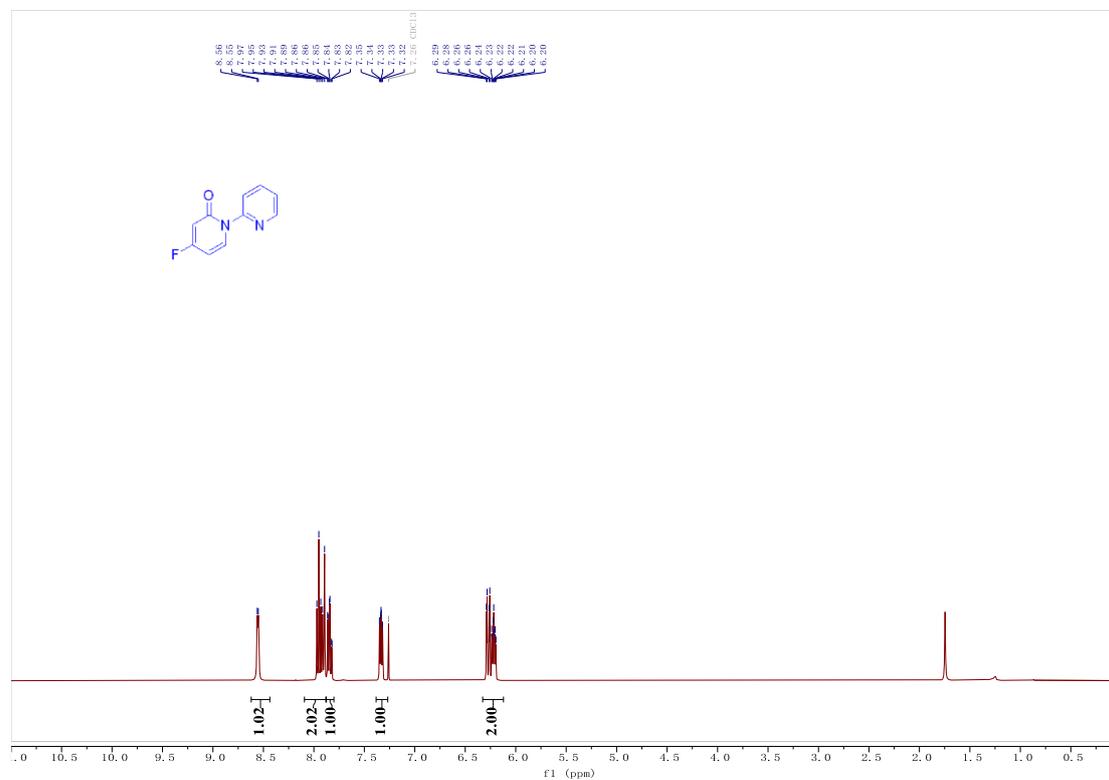


Entry	Retention Time	Height	Area	Area%
1	16.465	161035	7406766	97.97
2	28.842	1750	153514	2.03

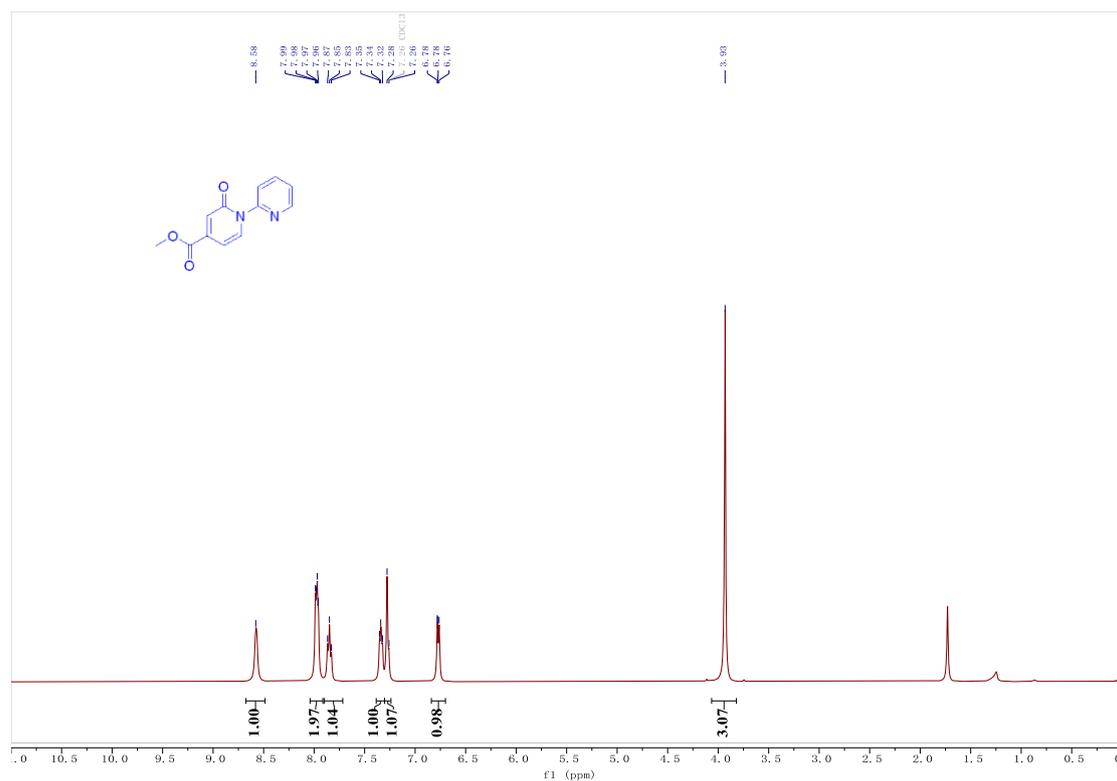
12.Copies of NMR Spectra



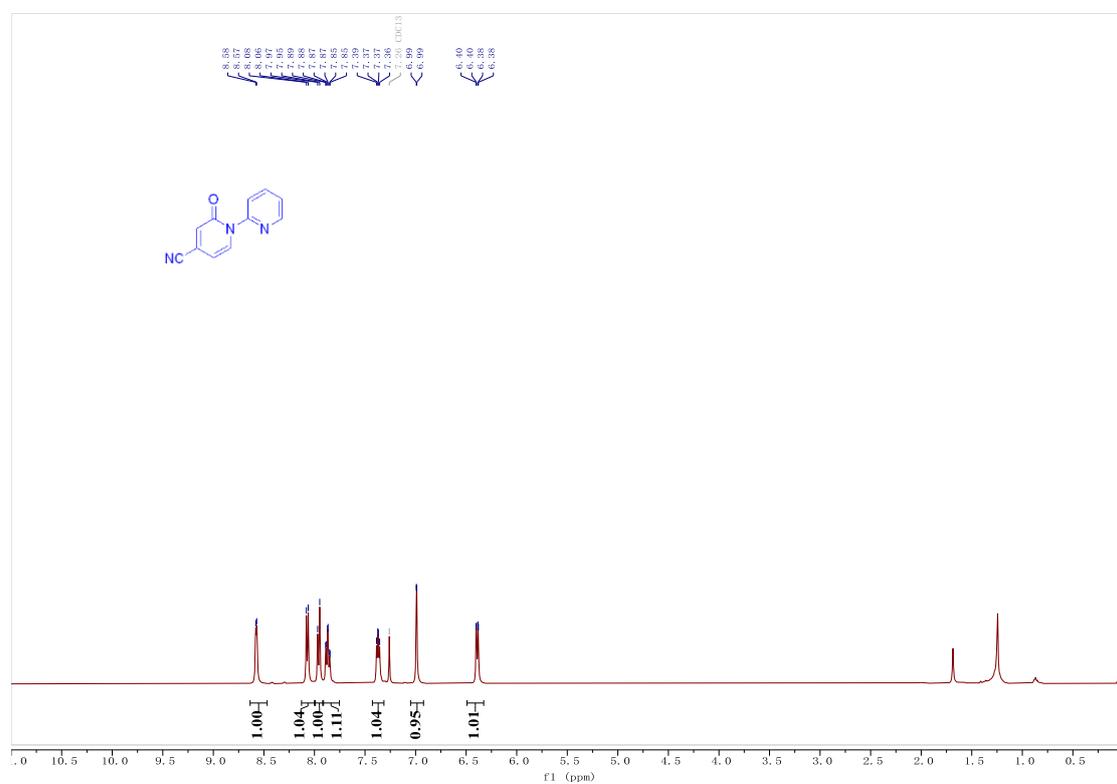
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1a**



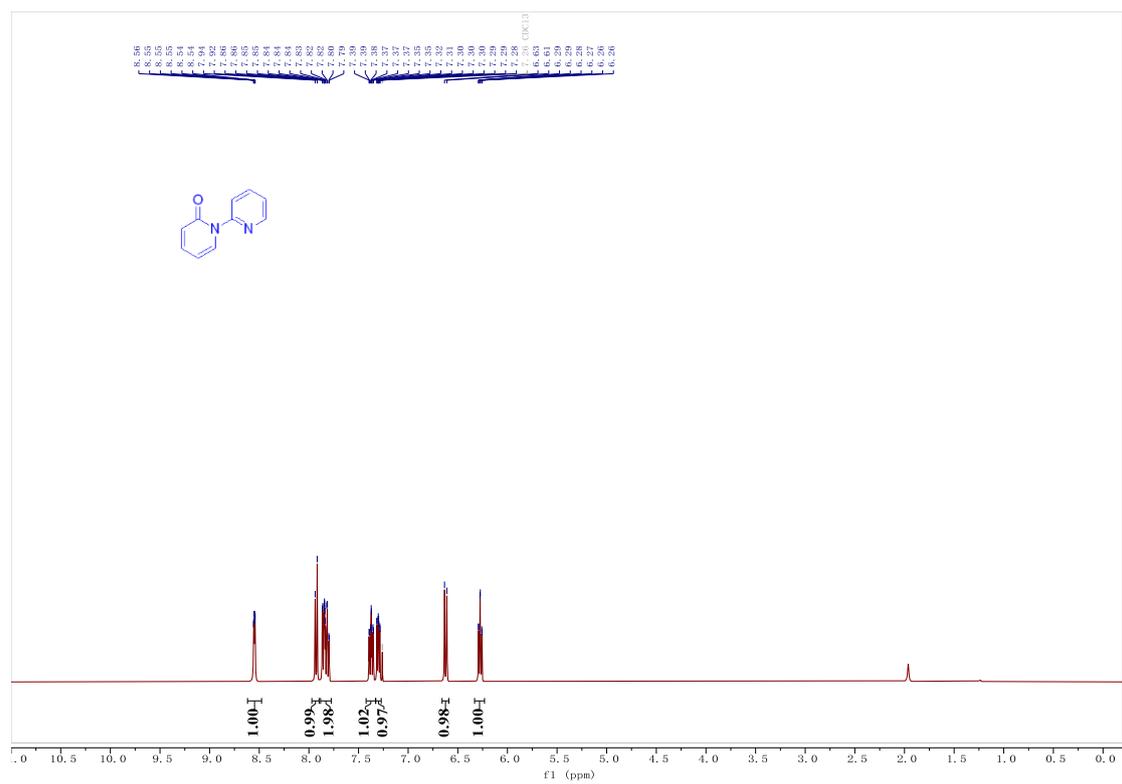
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1b**



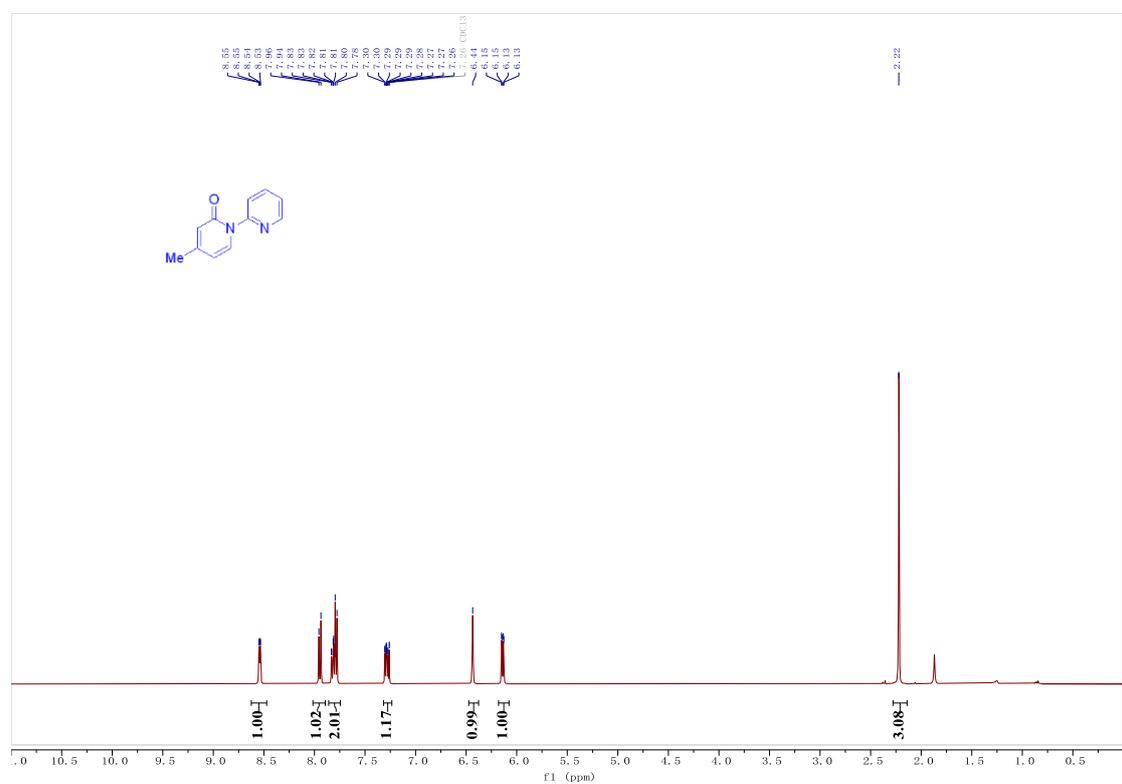
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1d**



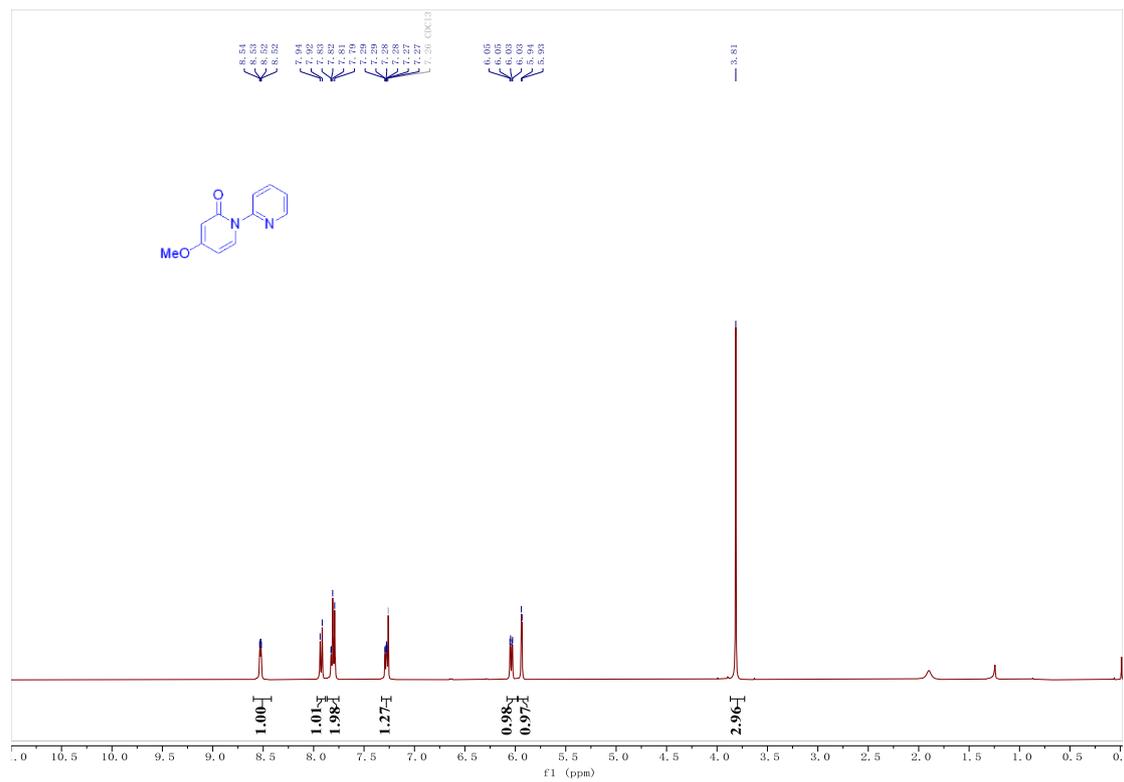
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1e**



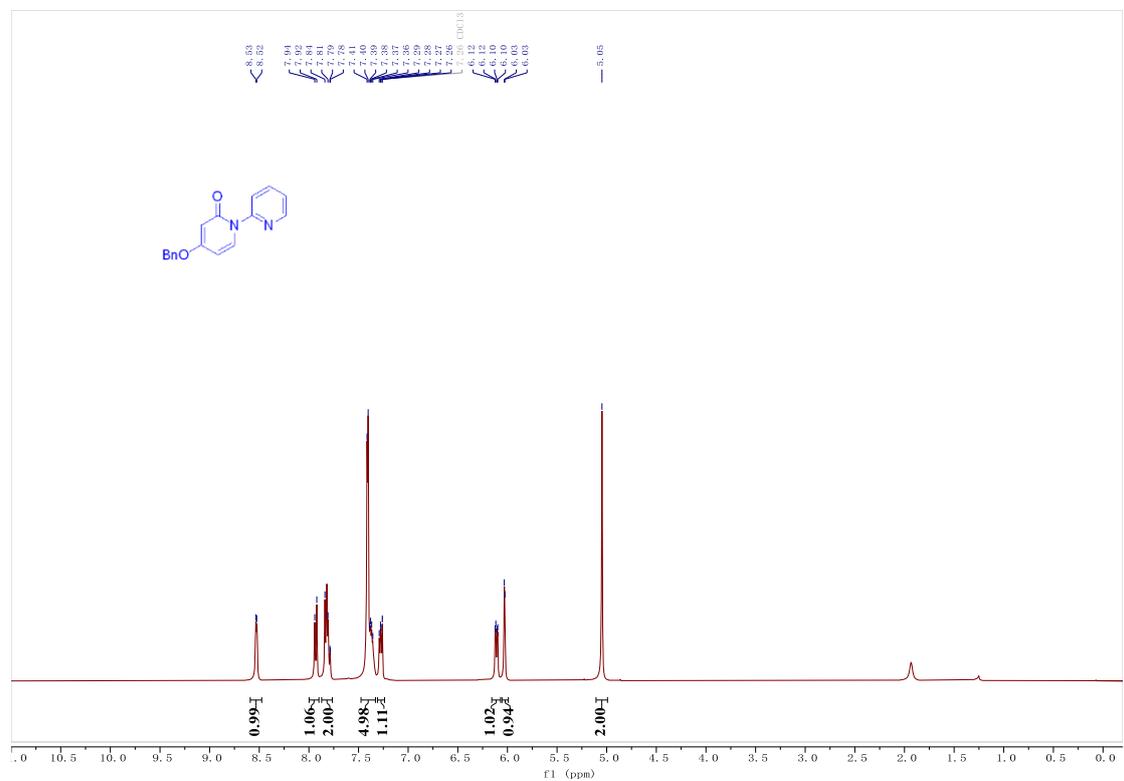
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1g**



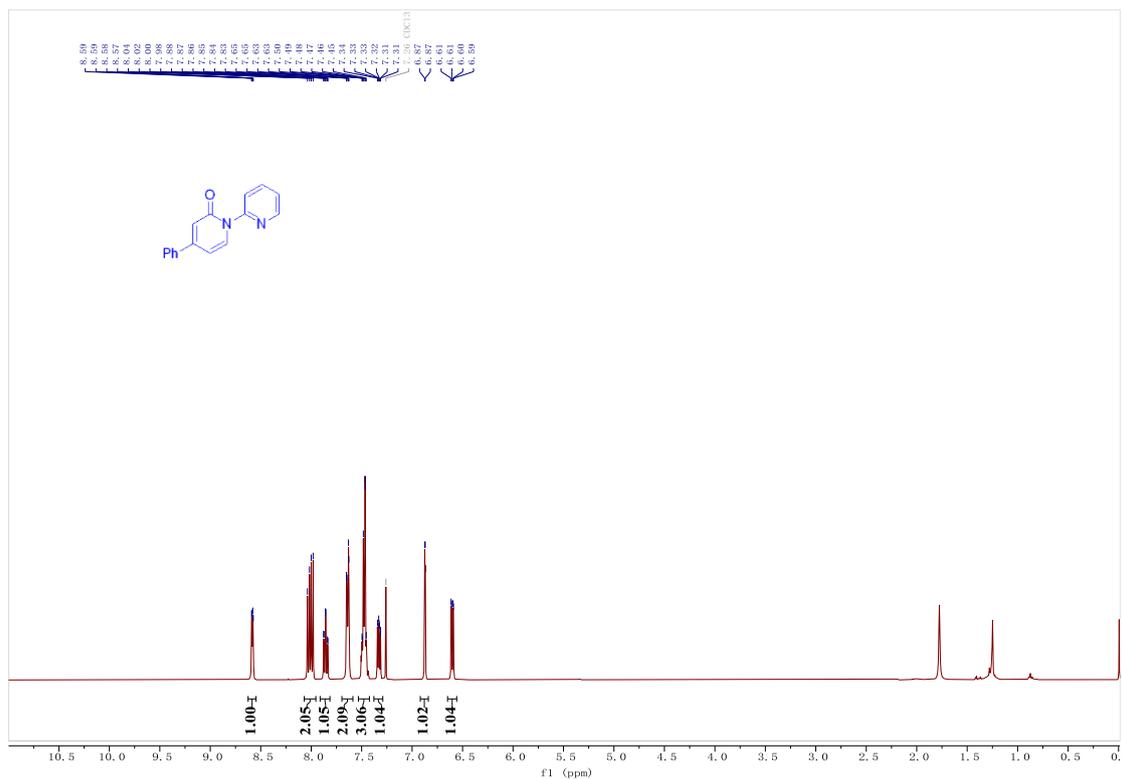
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1h**



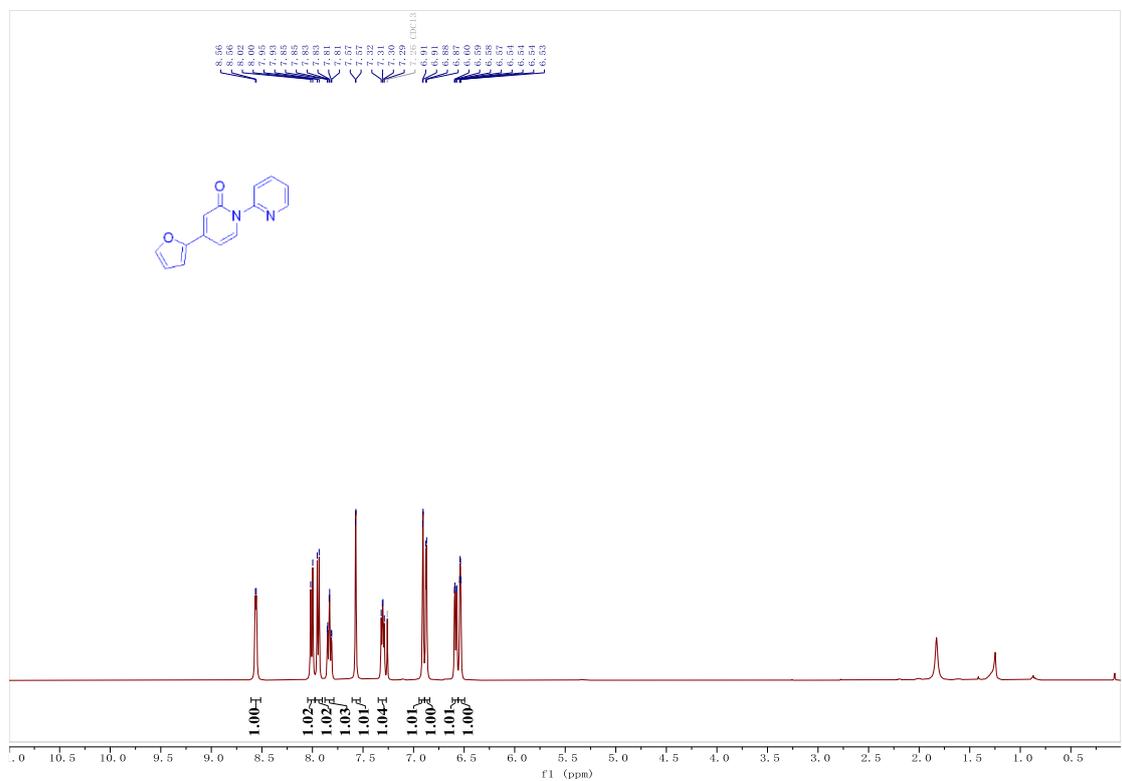
^1H NMR (400 MHz, Chloroform-*d*) spectrum of **1i**



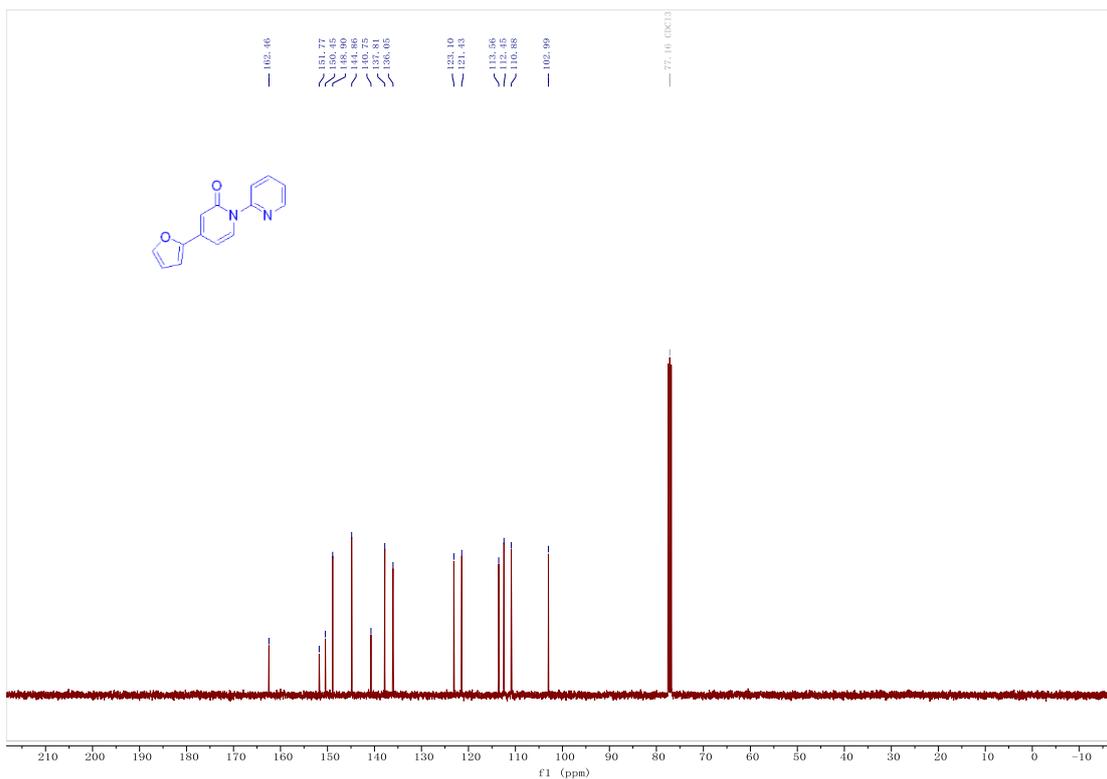
^1H NMR (400 MHz, Chloroform-*d*) spectrum of **1j**



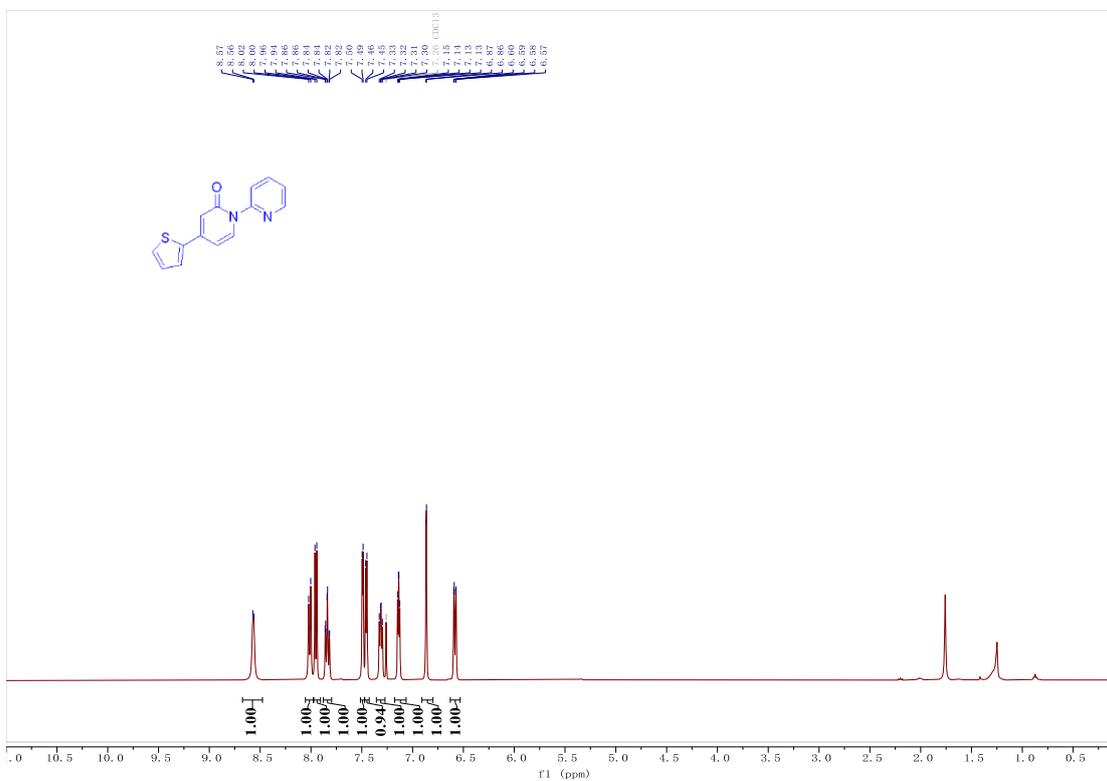
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1k**



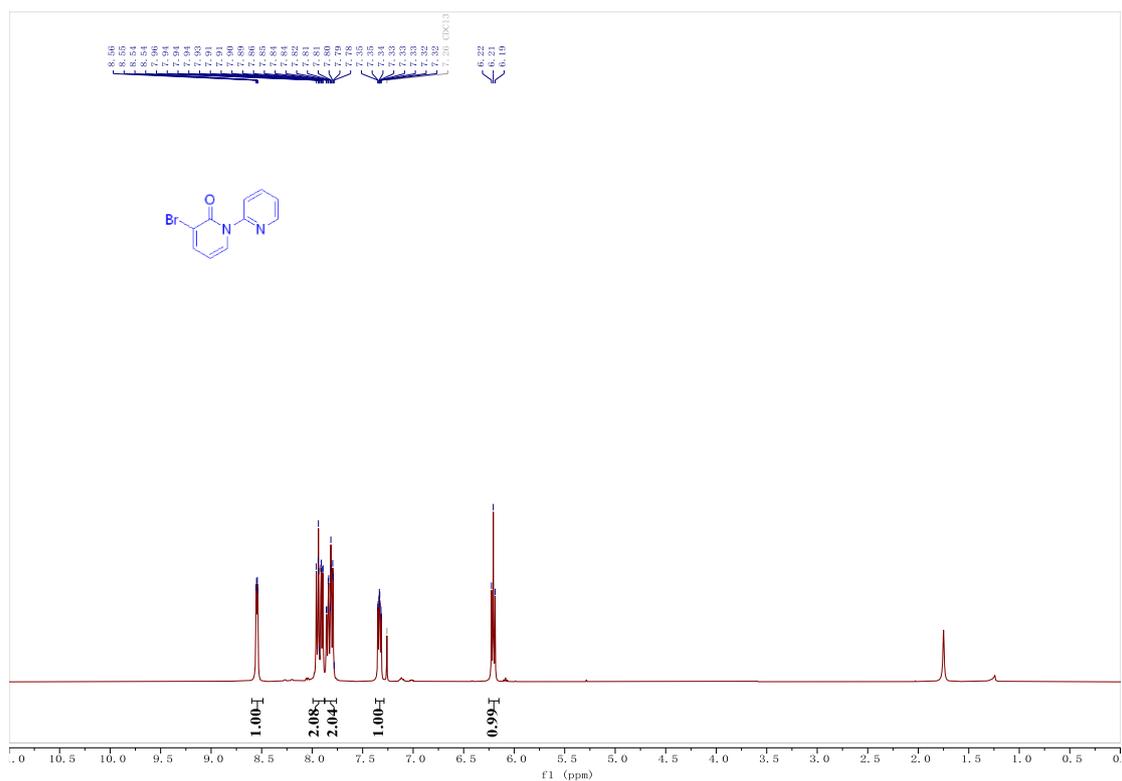
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1l**



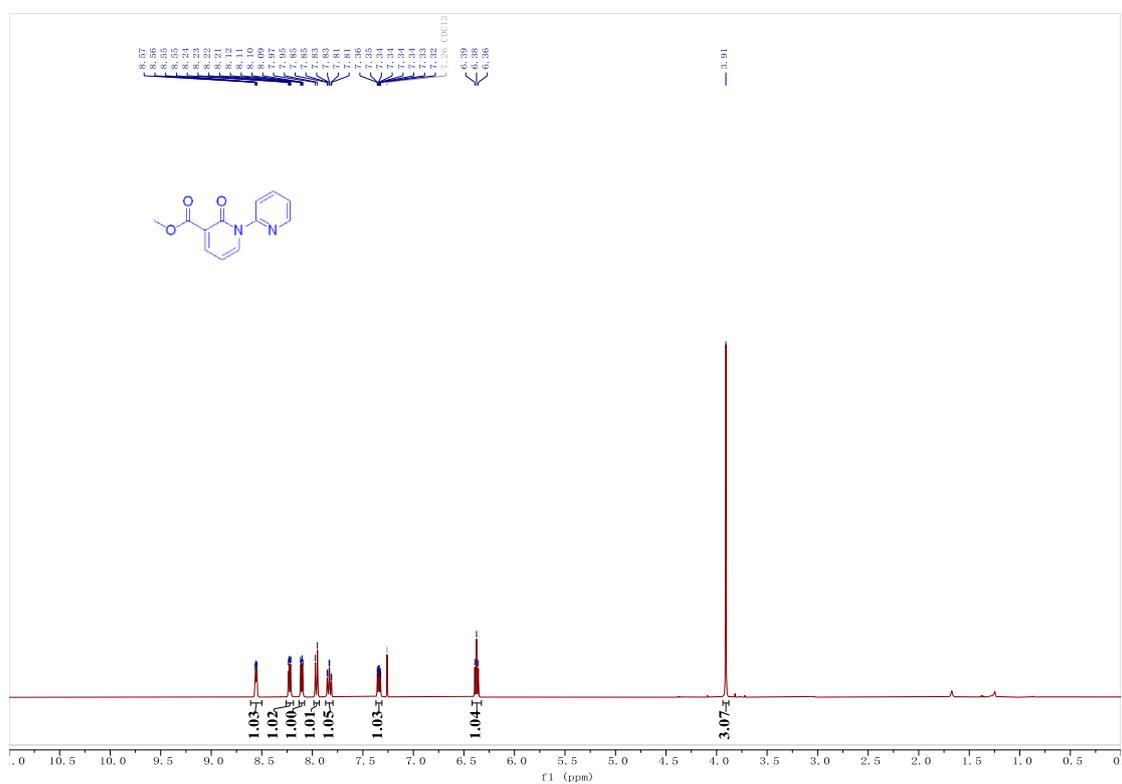
¹³C NMR (101 MHz, Chloroform-*d*) spectrum of **1l**



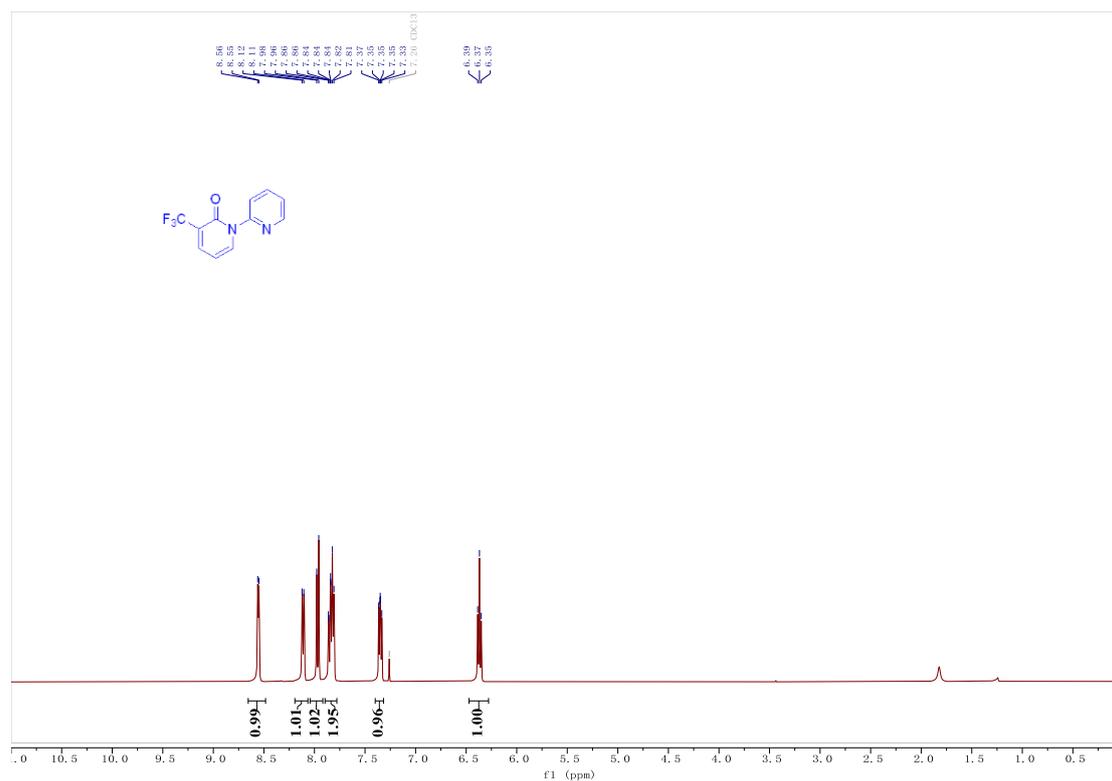
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1m**



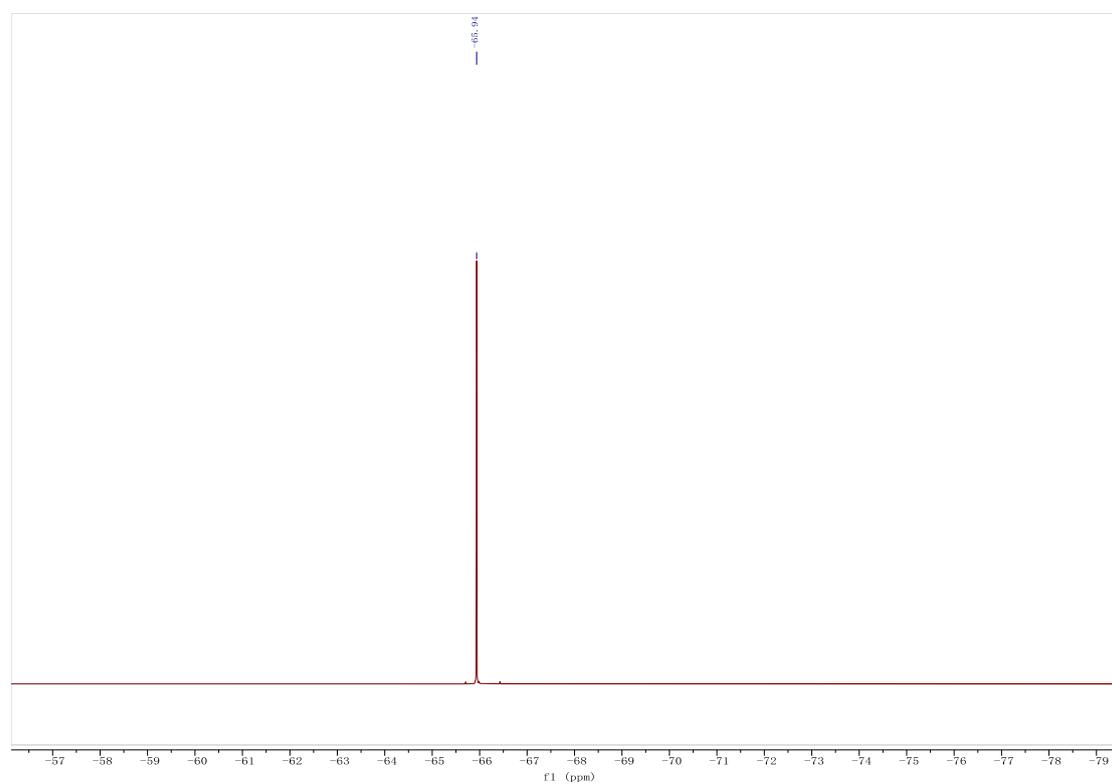
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1p**



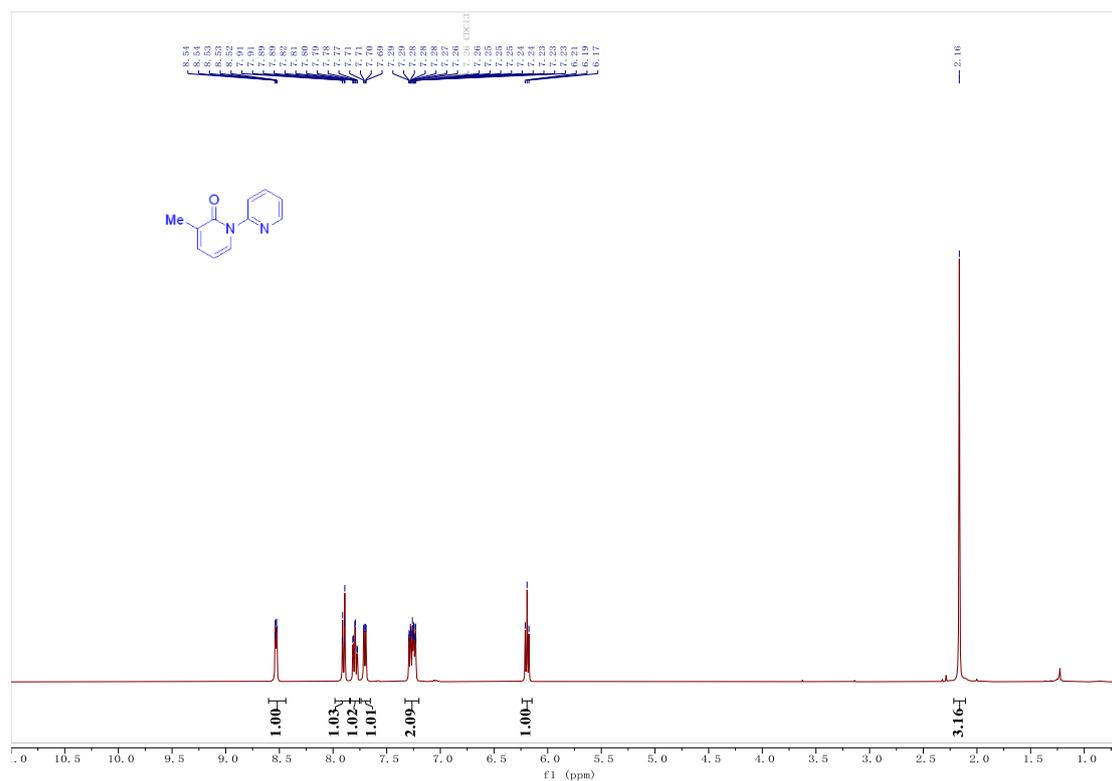
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1q**



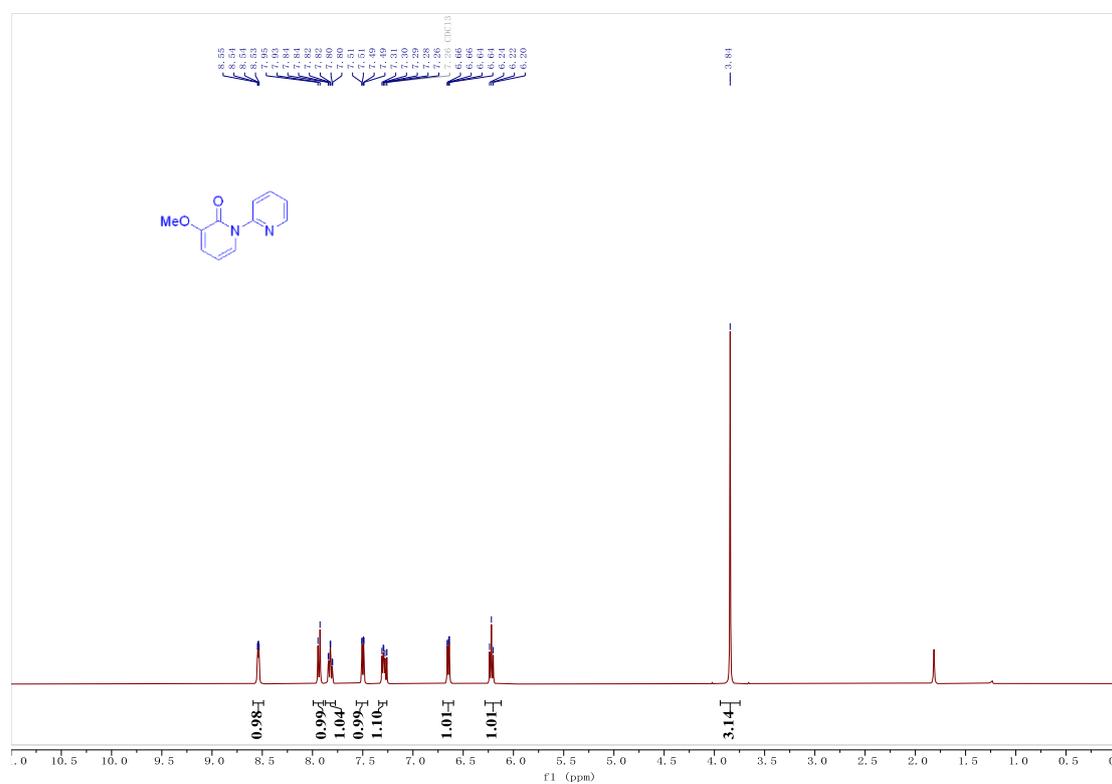
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1r**



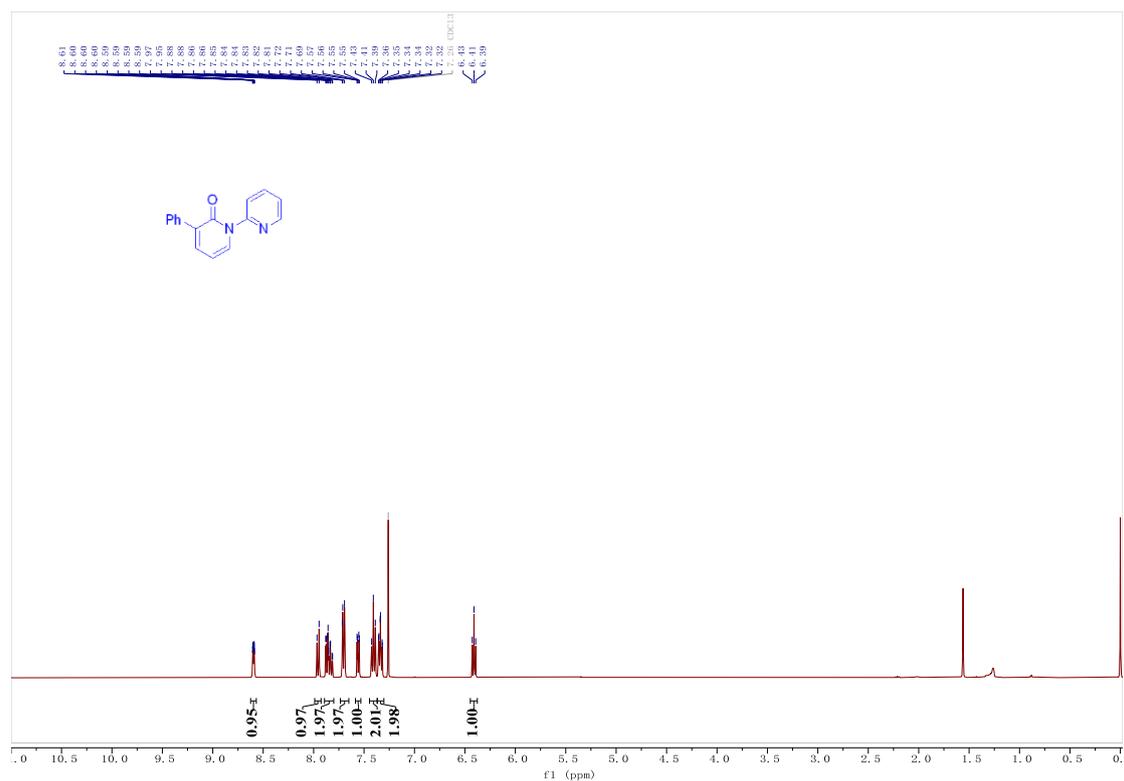
¹⁹F NMR (376 MHz, Chloroform-*d*) spectrum of **1r**



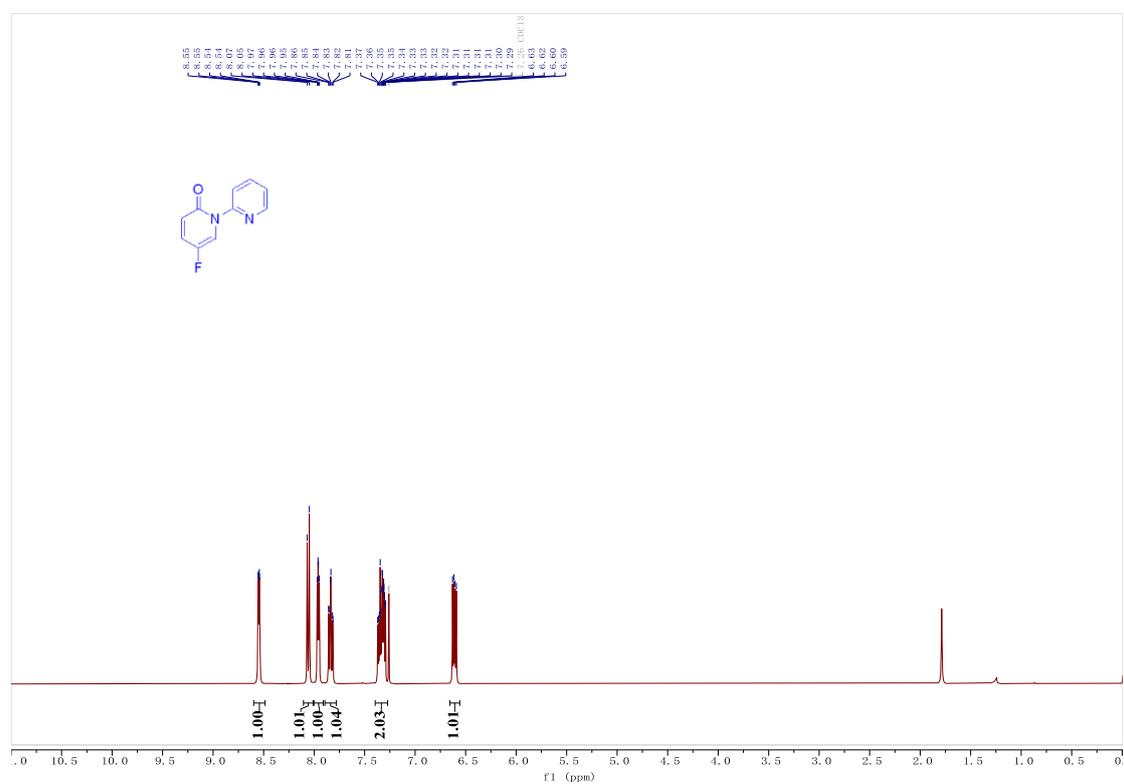
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1s**



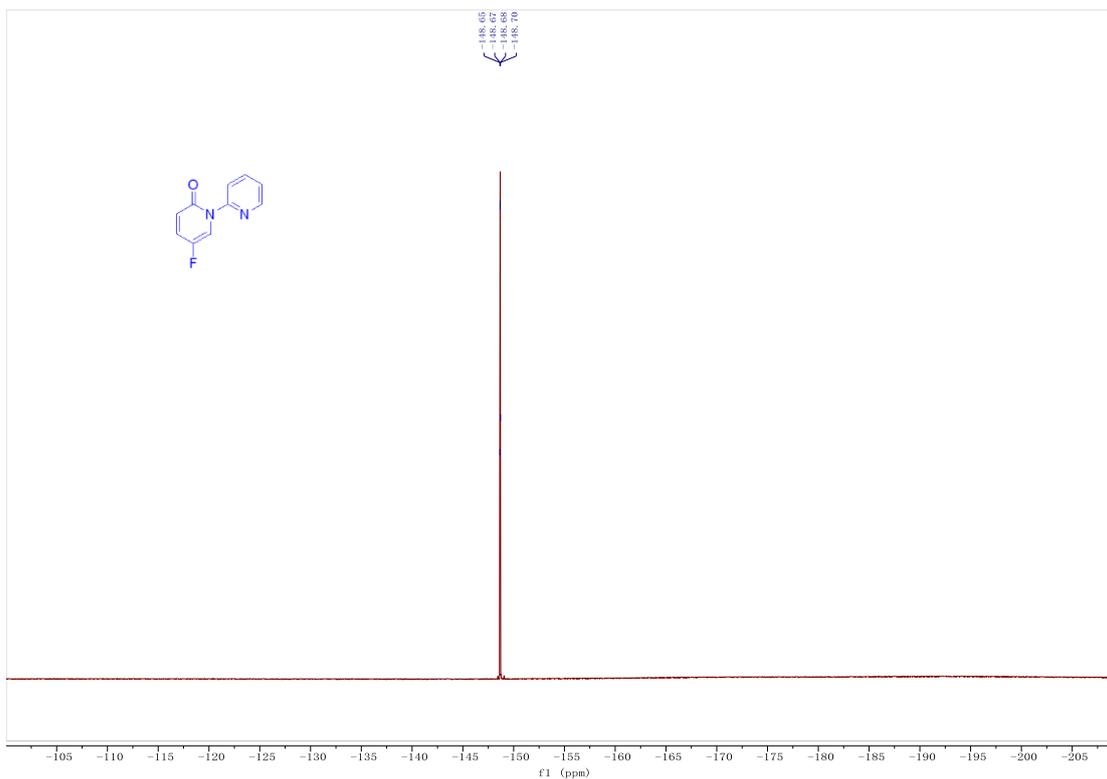
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1t**



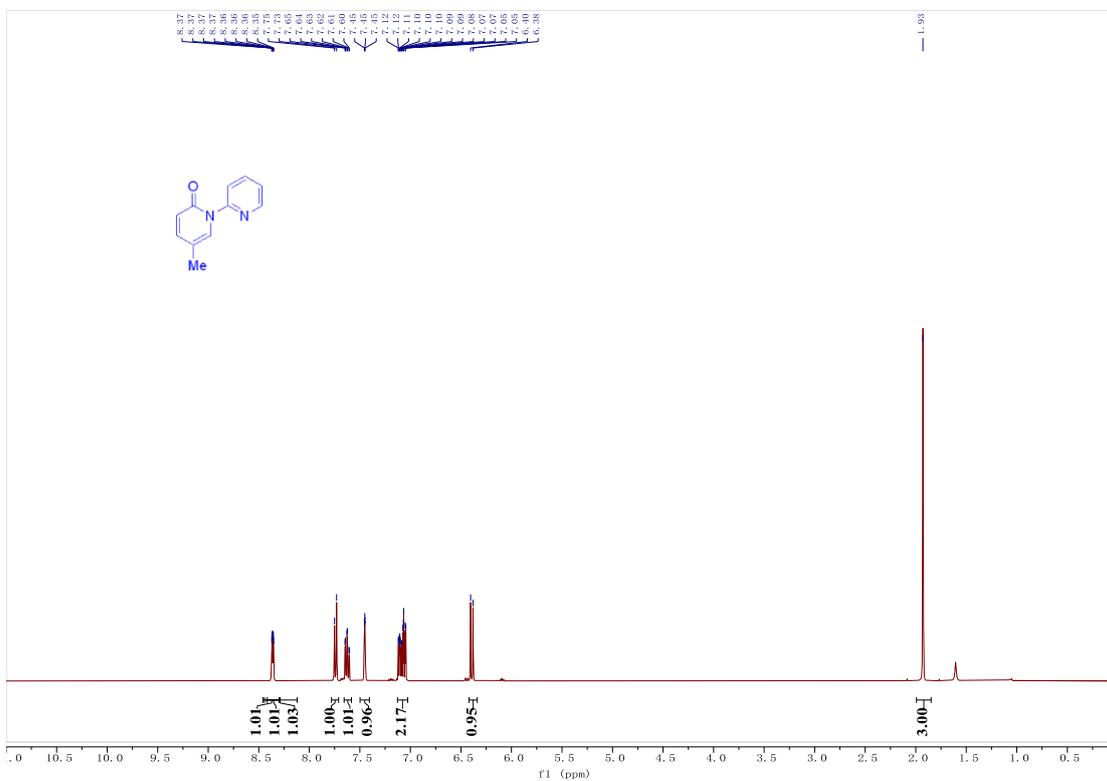
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1u**



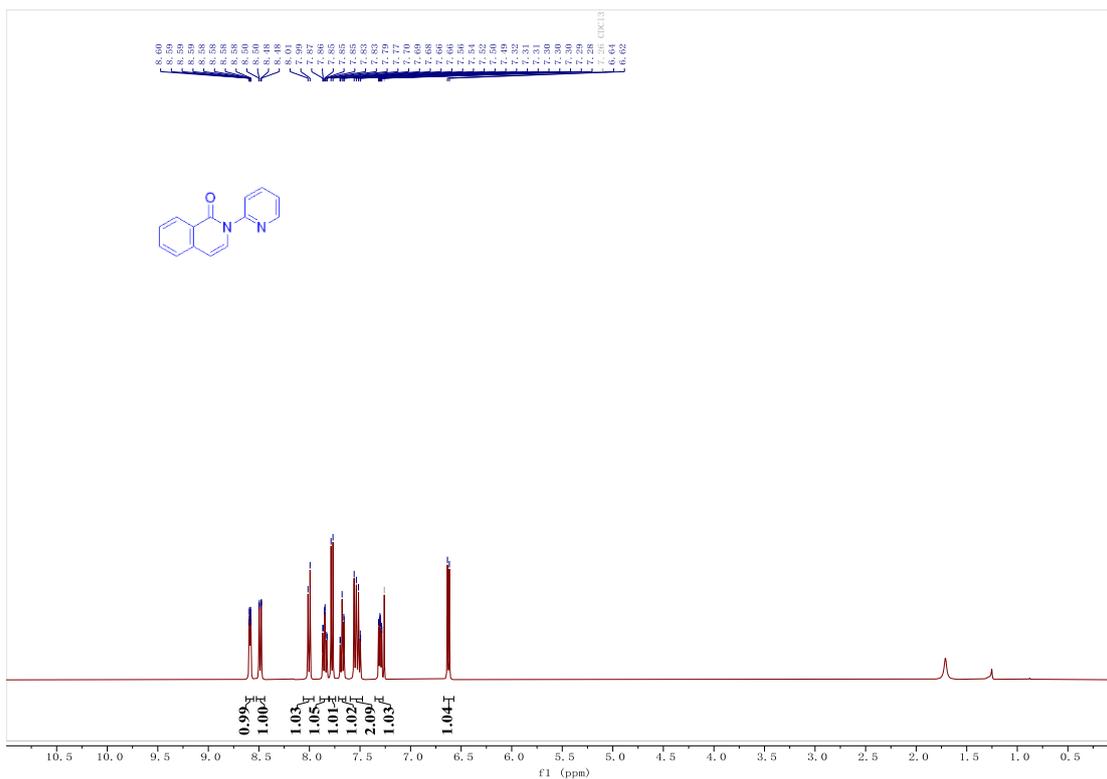
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **1v**

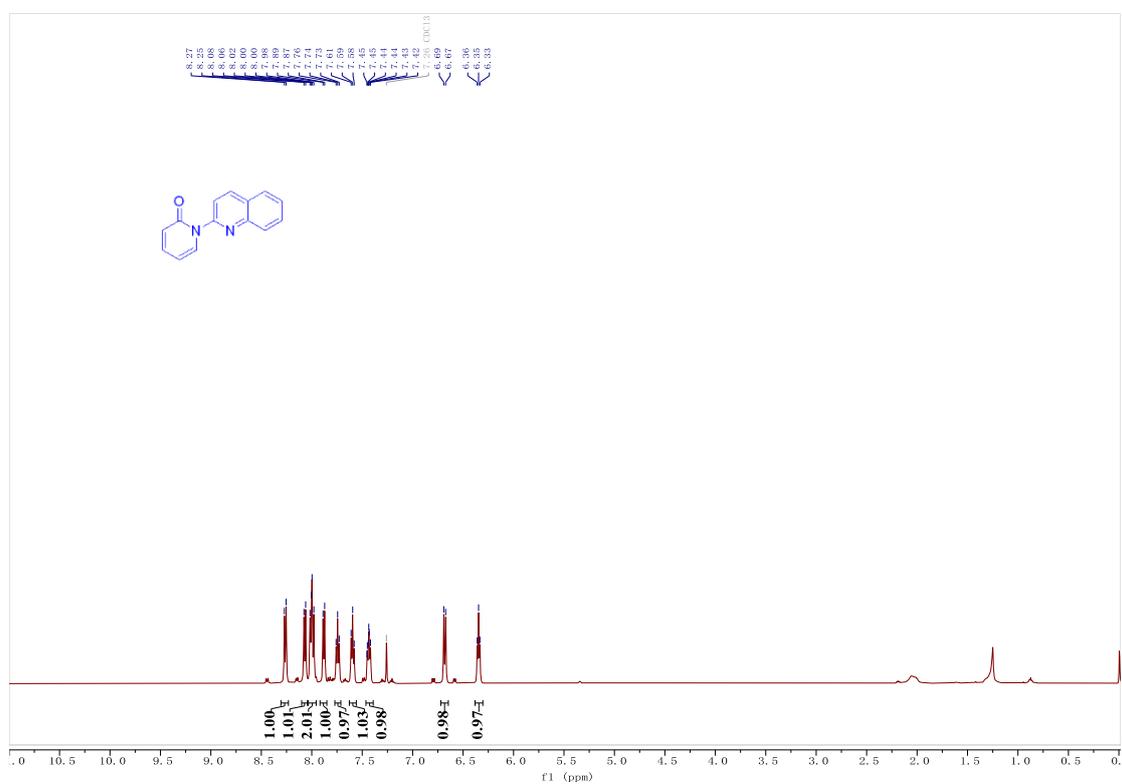
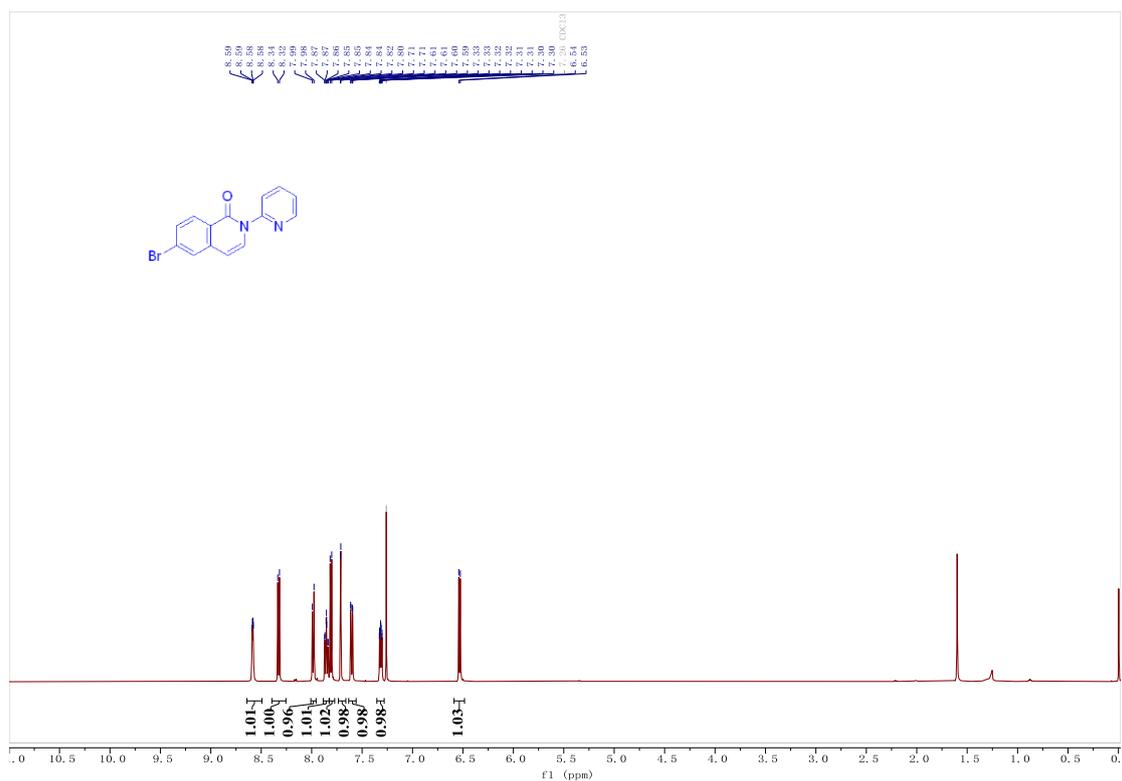


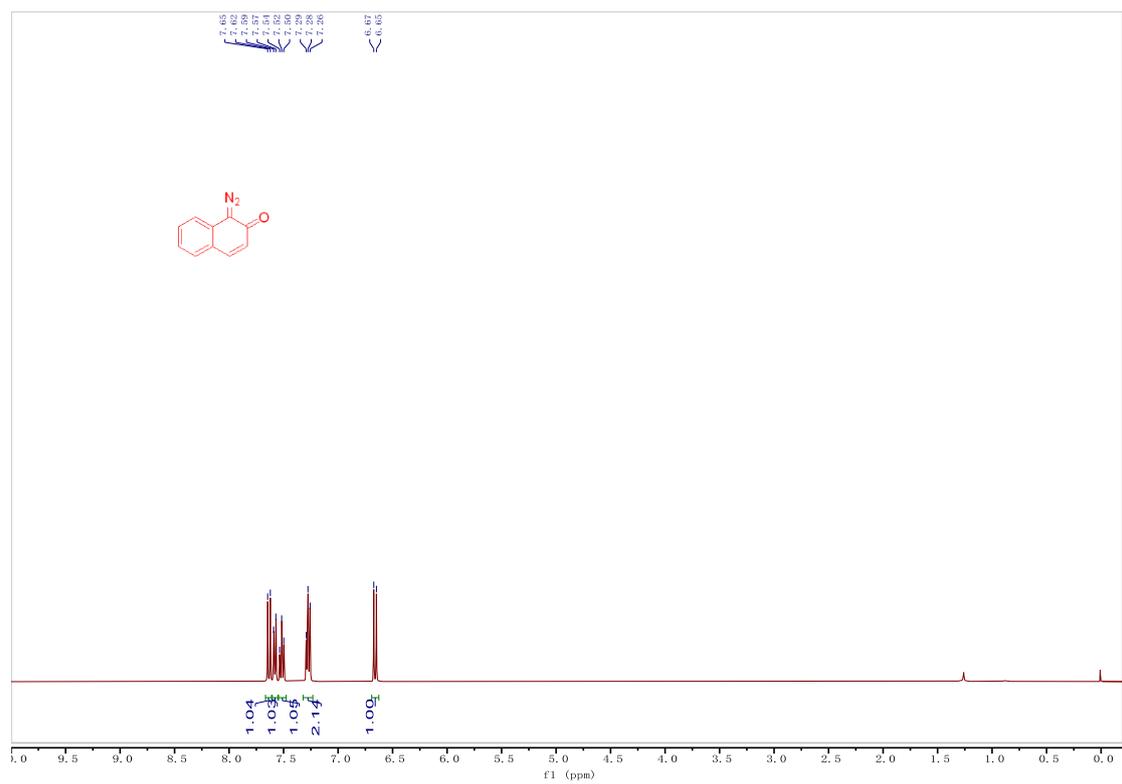
^{19}F NMR (376MHz, Chloroform-*d*) spectrum of **1v**



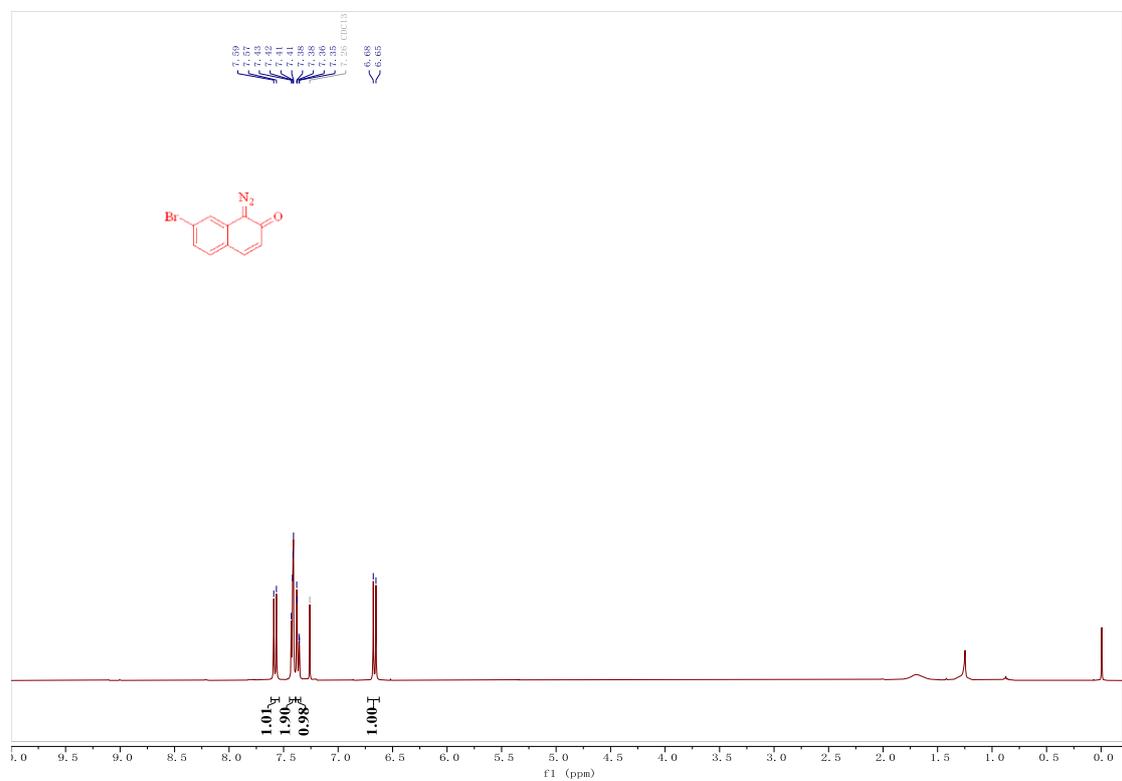
^1H NMR (400 MHz, Chloroform-*d*) spectrum of **1w**



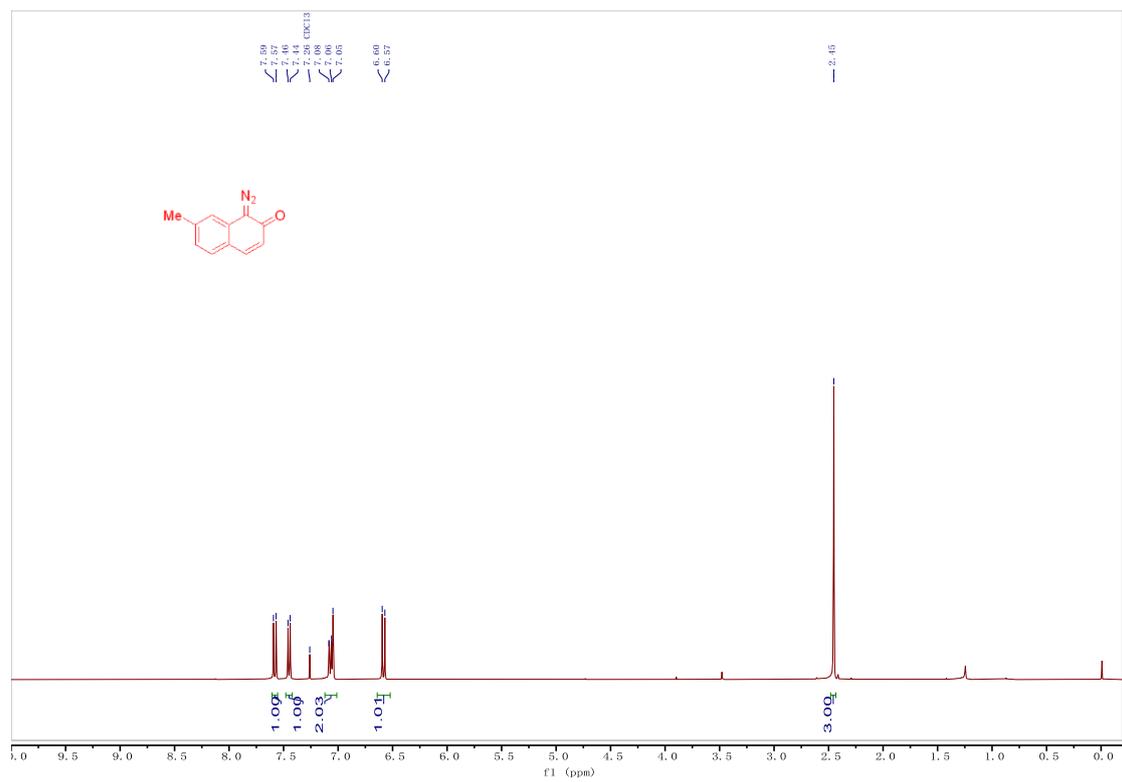




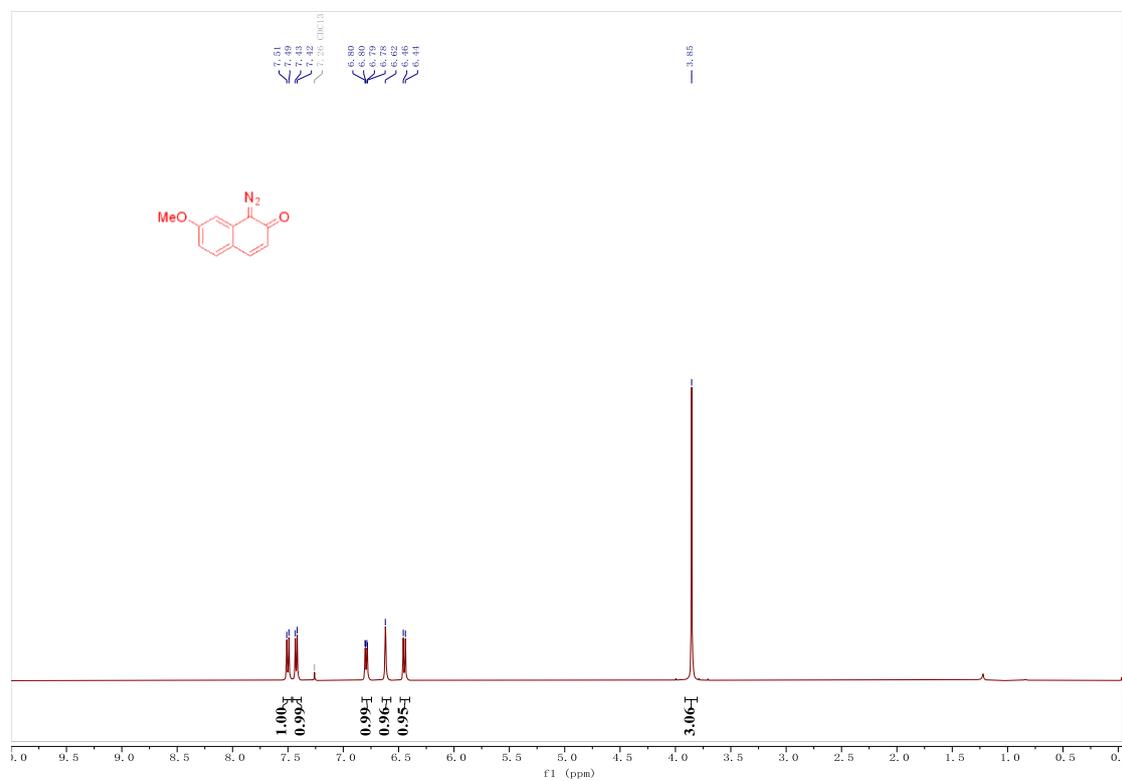
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **2a**



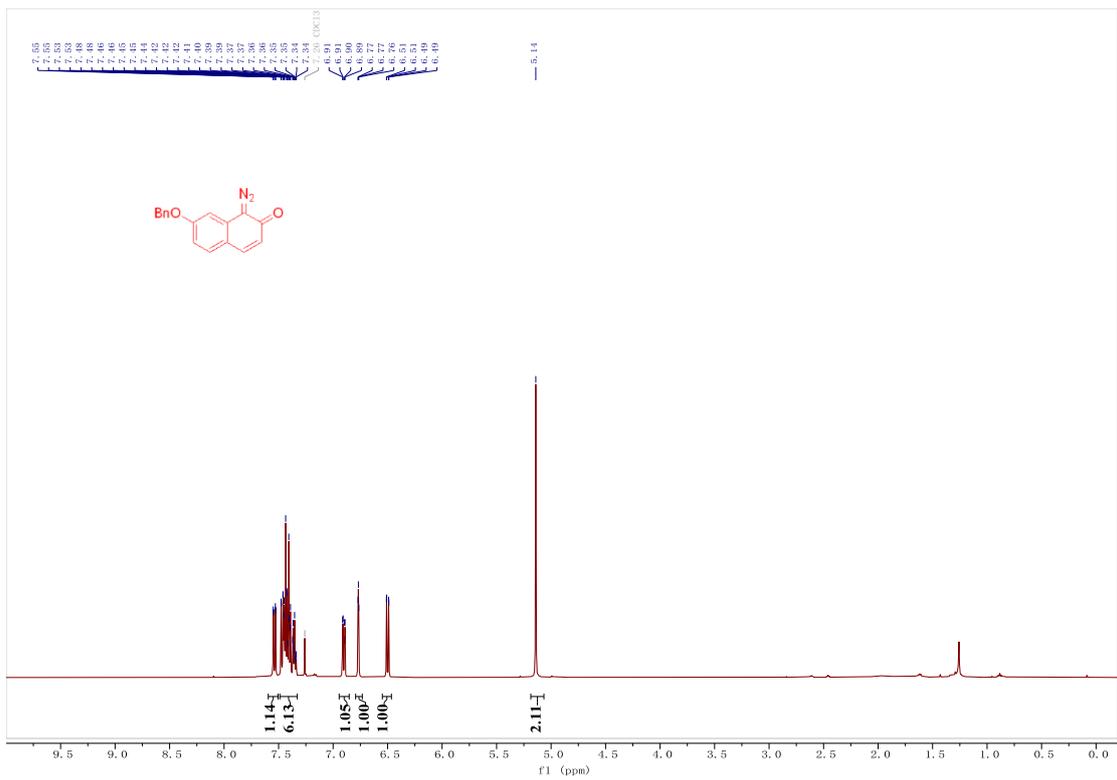
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **2b**



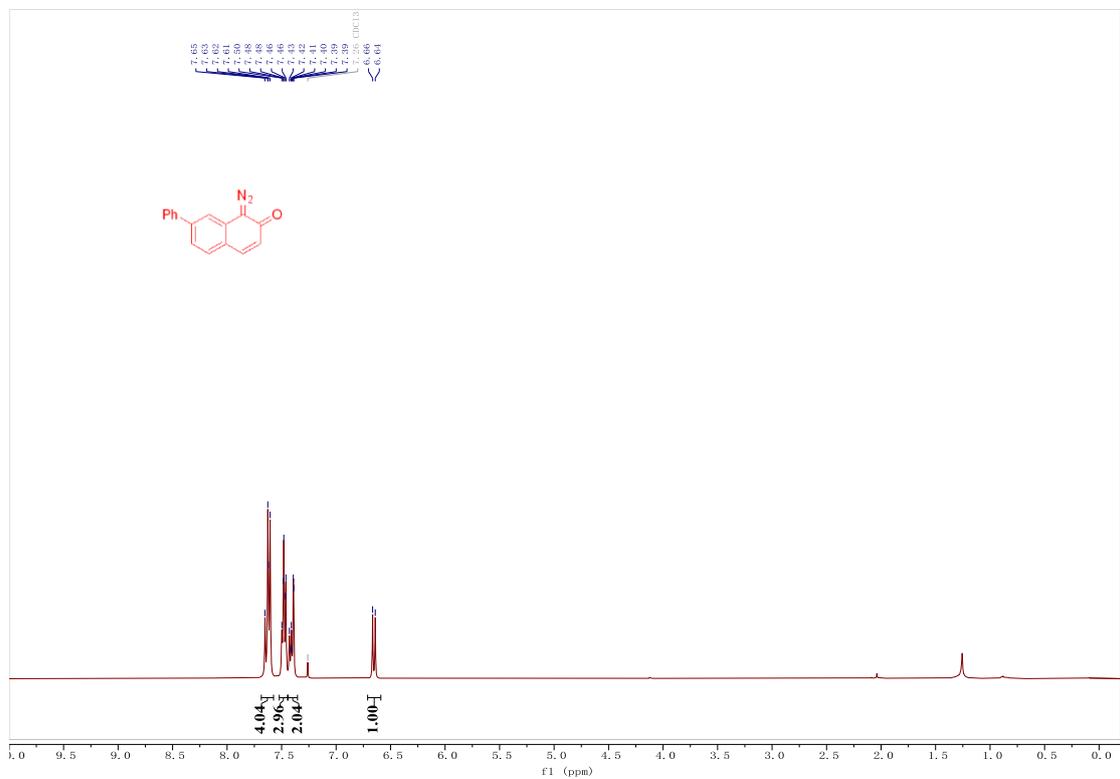
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **2c**



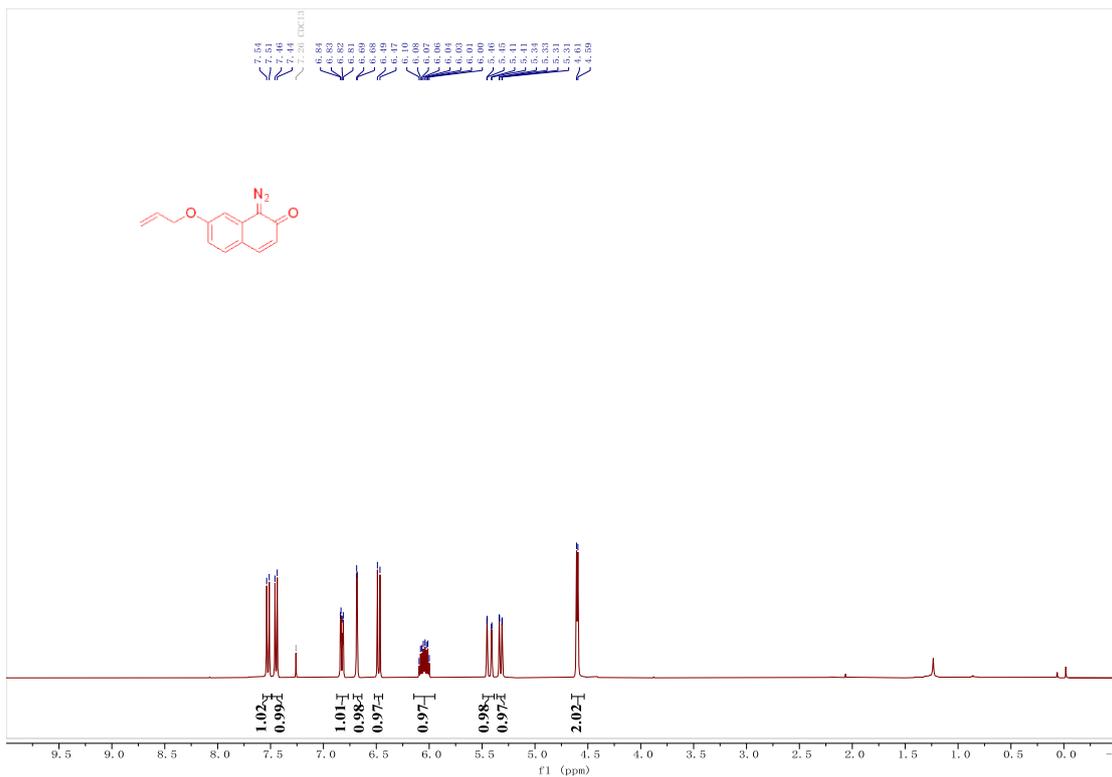
¹H NMR (500 MHz, Chloroform-*d*) spectrum of **2d**



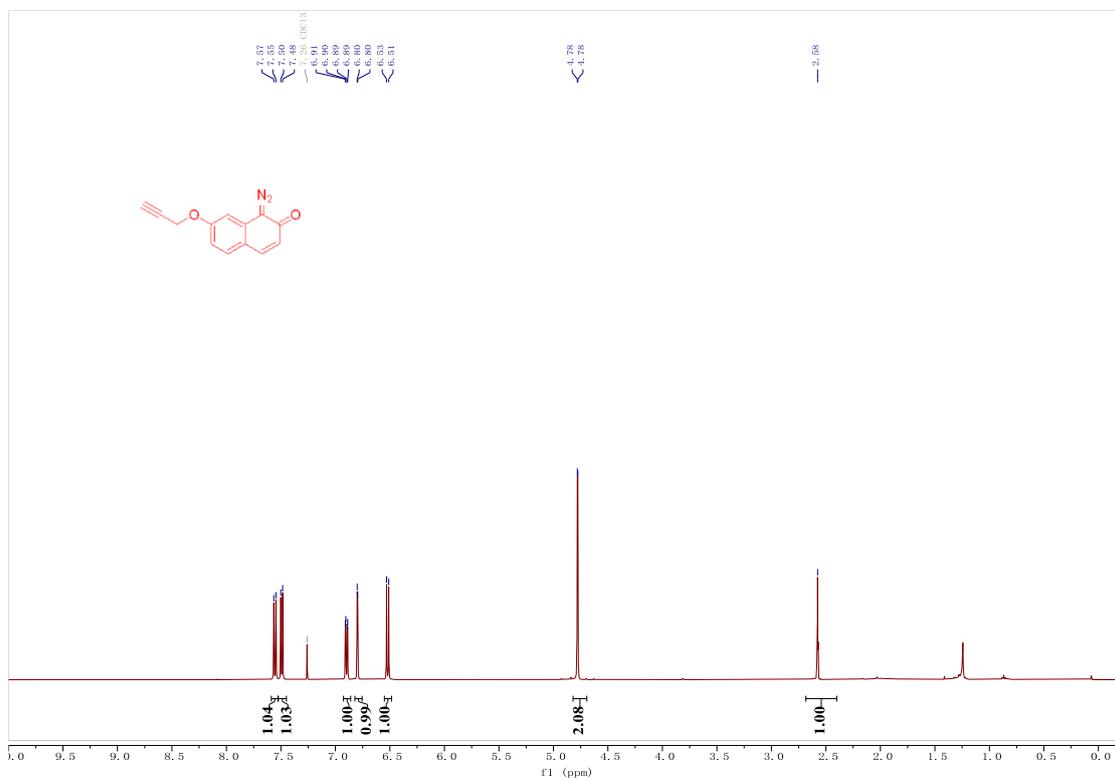
^1H NMR (500 MHz, Chloroform-*d*) spectrum of **2e**



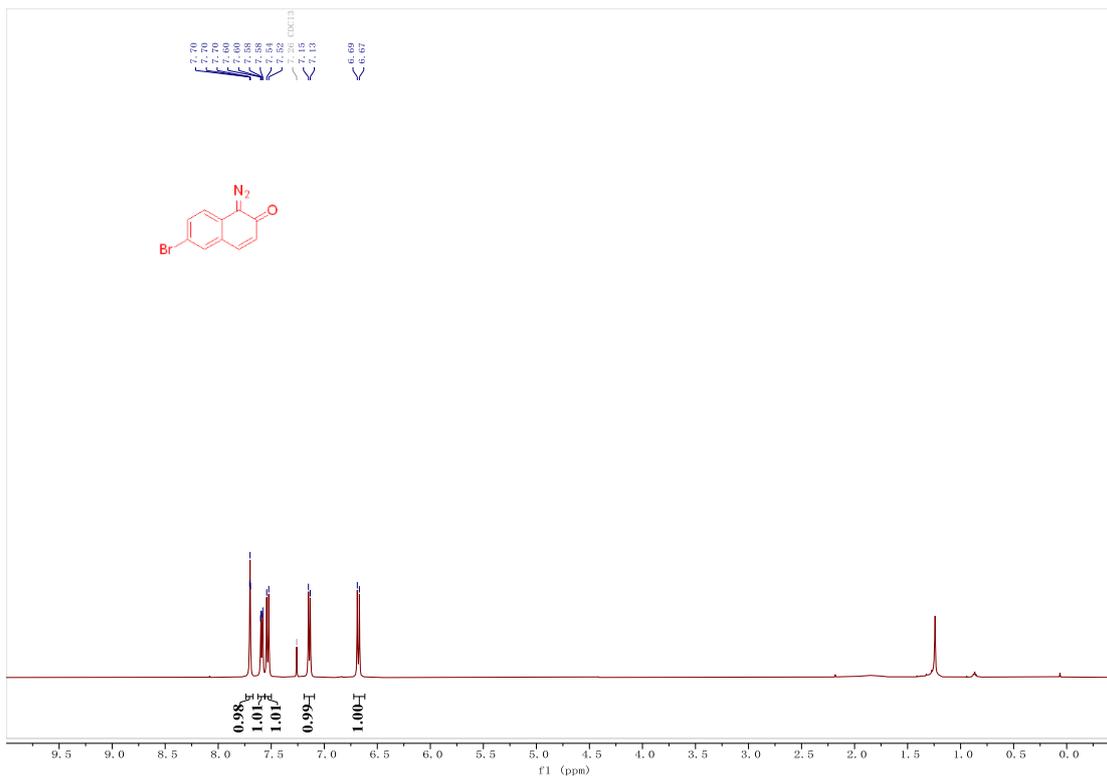
^1H NMR (400 MHz, Chloroform-*d*) spectrum of **2f**



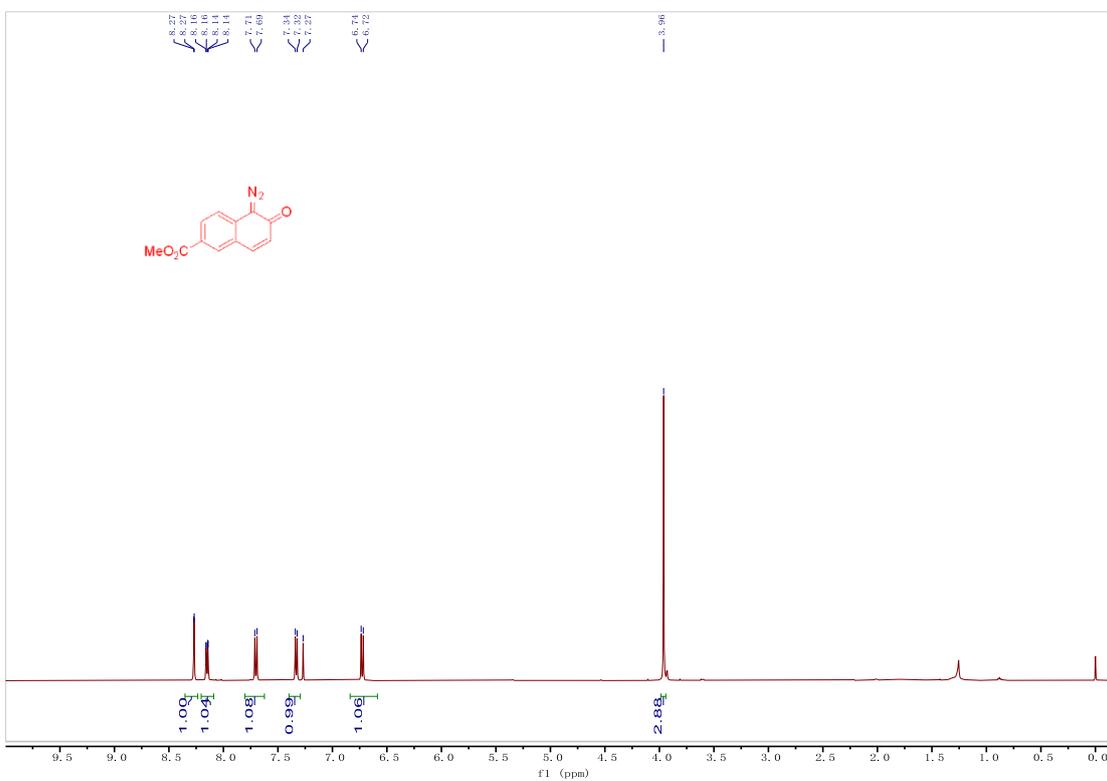
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **2g**



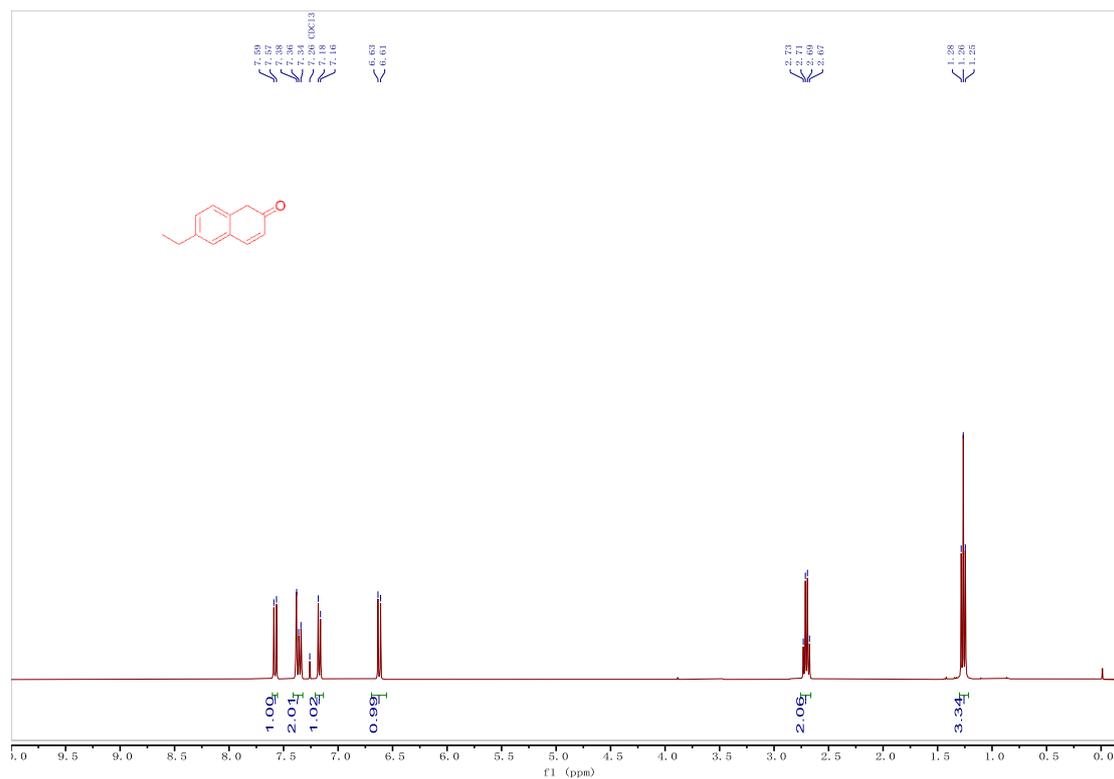
¹H NMR (500 MHz, Chloroform-*d*) spectrum of **2h**



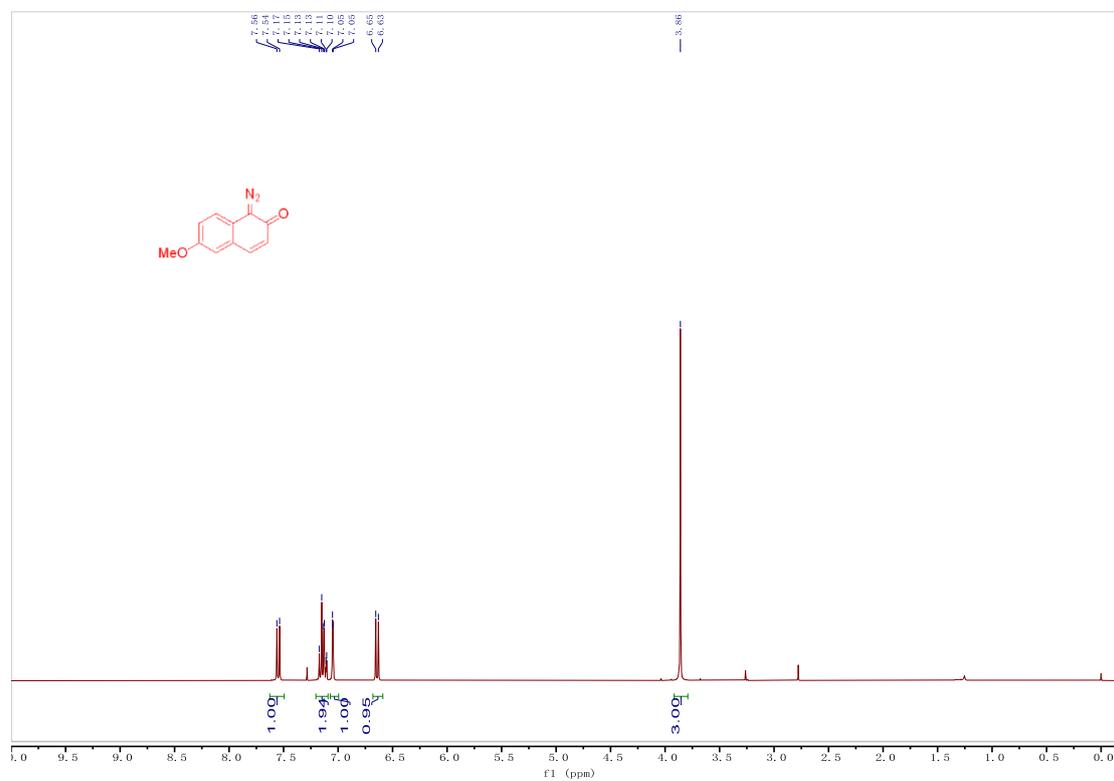
¹H NMR (500 MHz, Chloroform-*d*) spectrum of **2i**



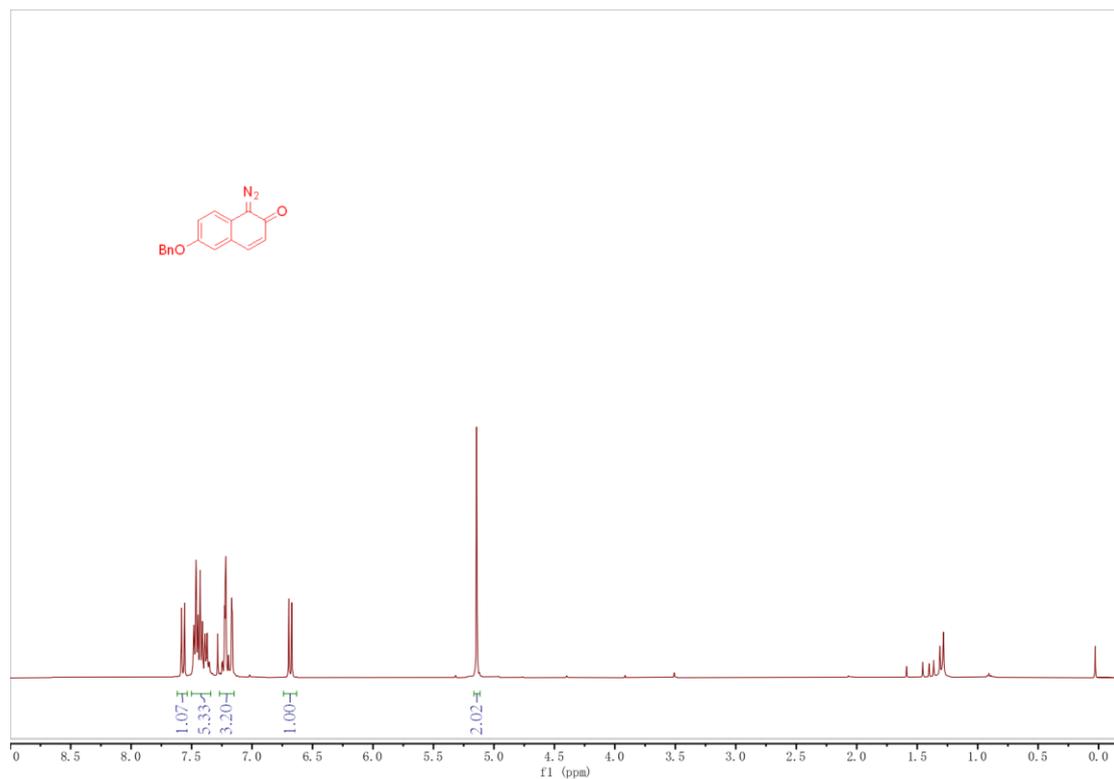
¹H NMR (500 MHz, Chloroform-*d*) spectrum of **2j**



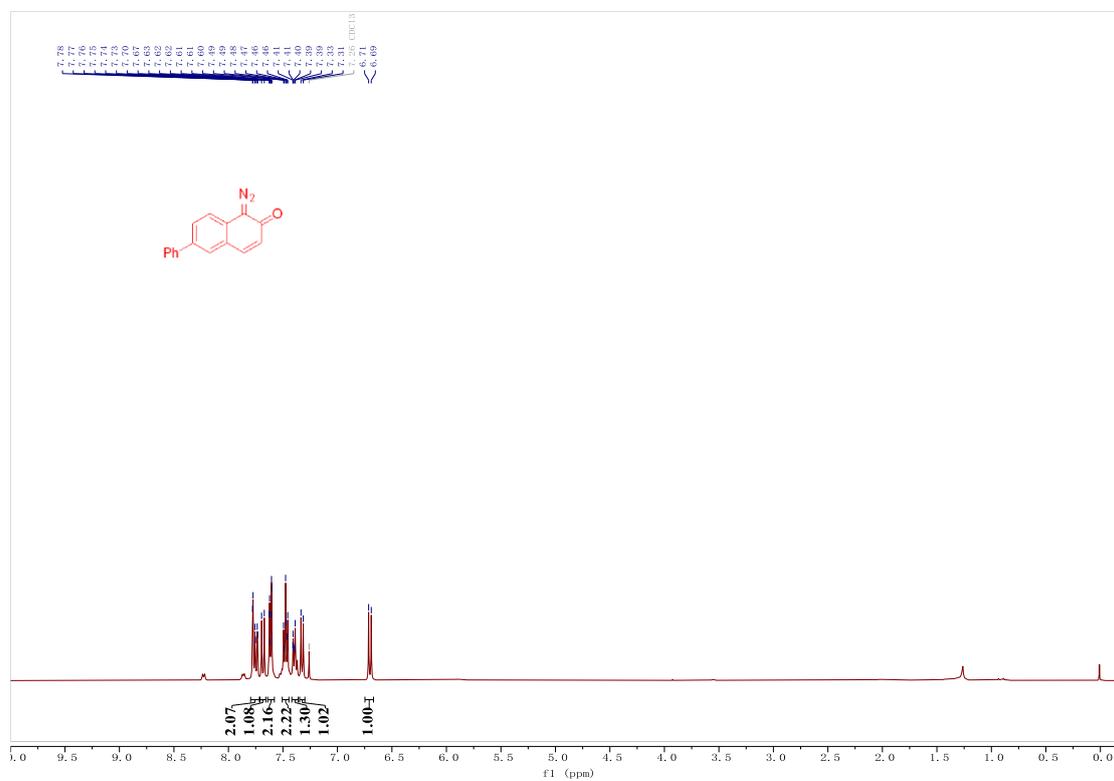
^1H NMR (400 MHz, Chloroform-*d*) spectrum of **2k**



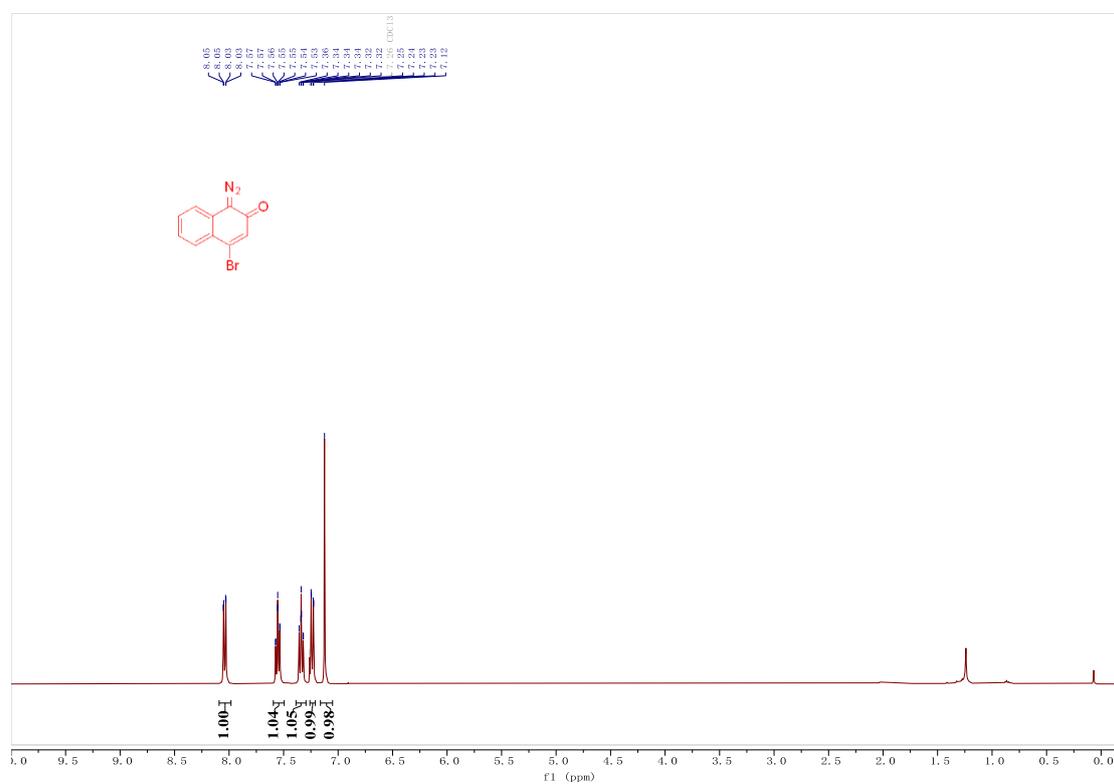
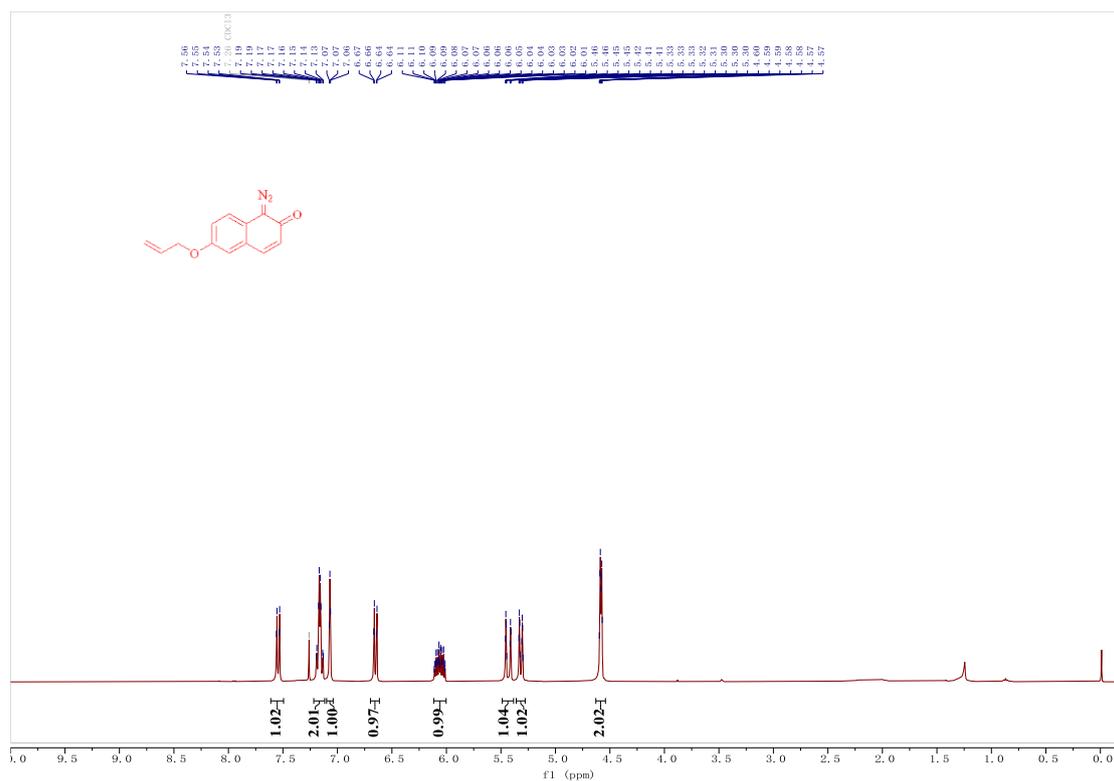
^1H NMR (400 MHz, Chloroform-*d*) spectrum of **2l**

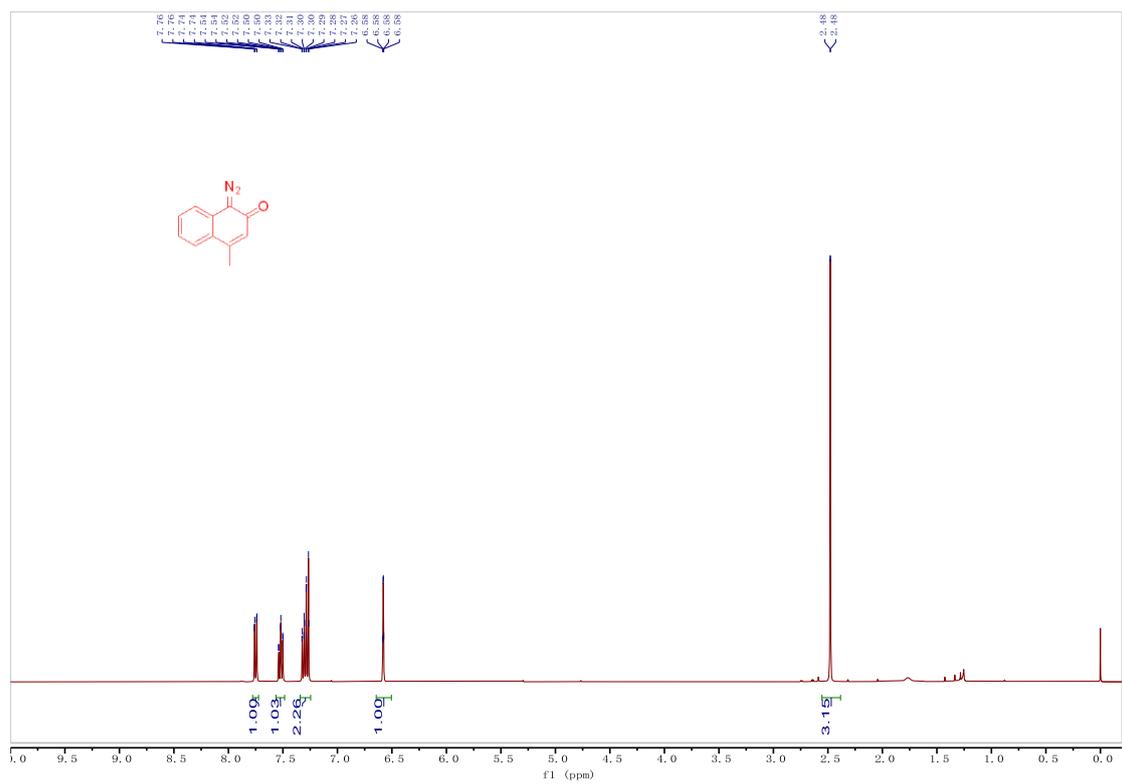


¹H NMR (400 MHz, Chloroform-*d*) spectrum of **2m**

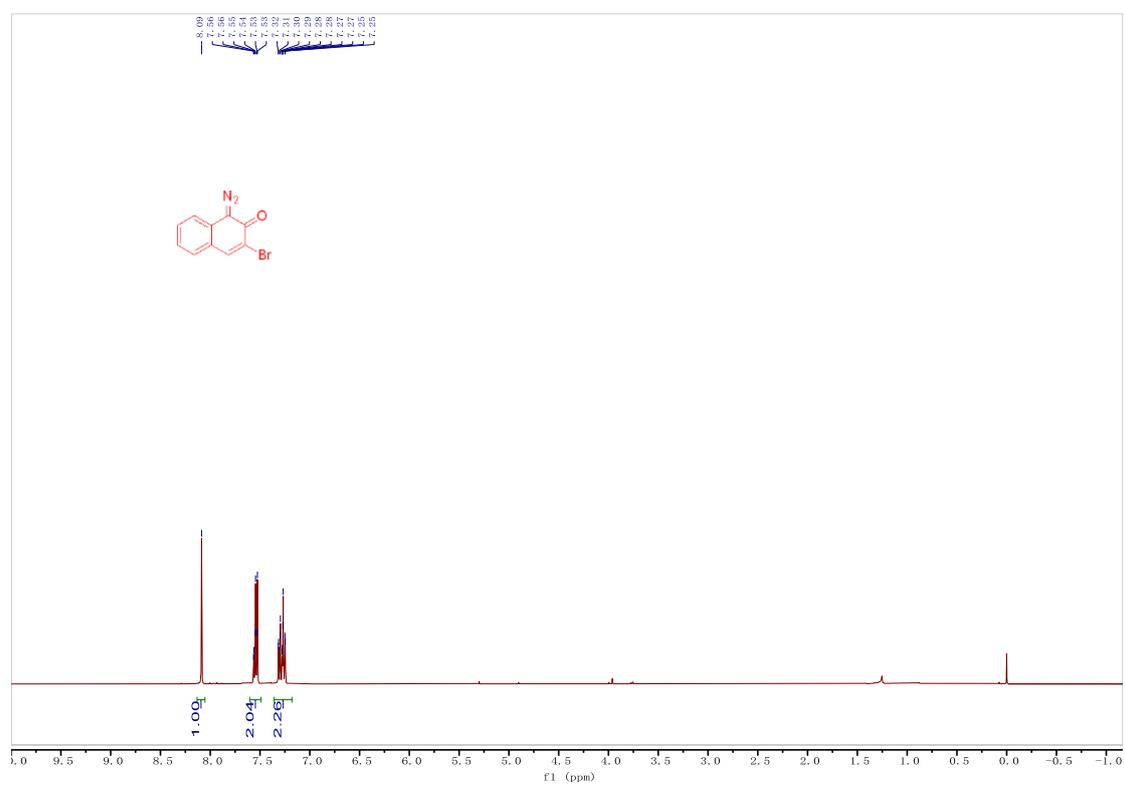


¹H NMR (400 MHz, Chloroform-*d*) spectrum of **2n**

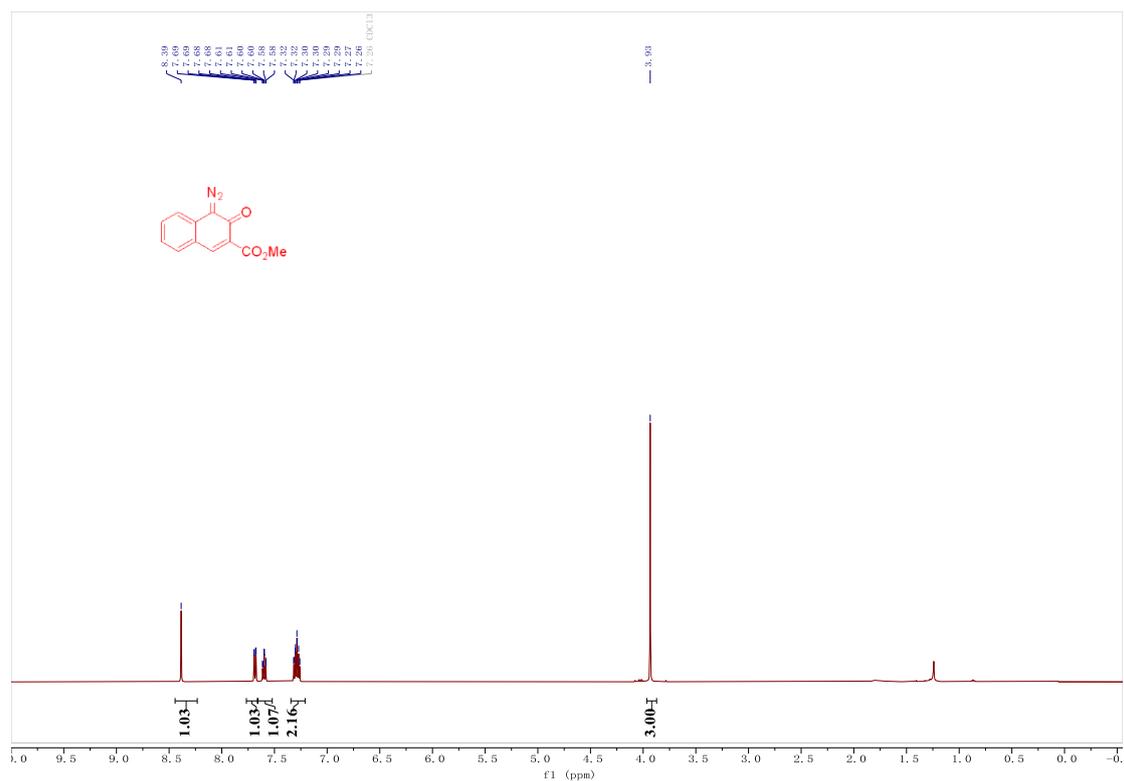




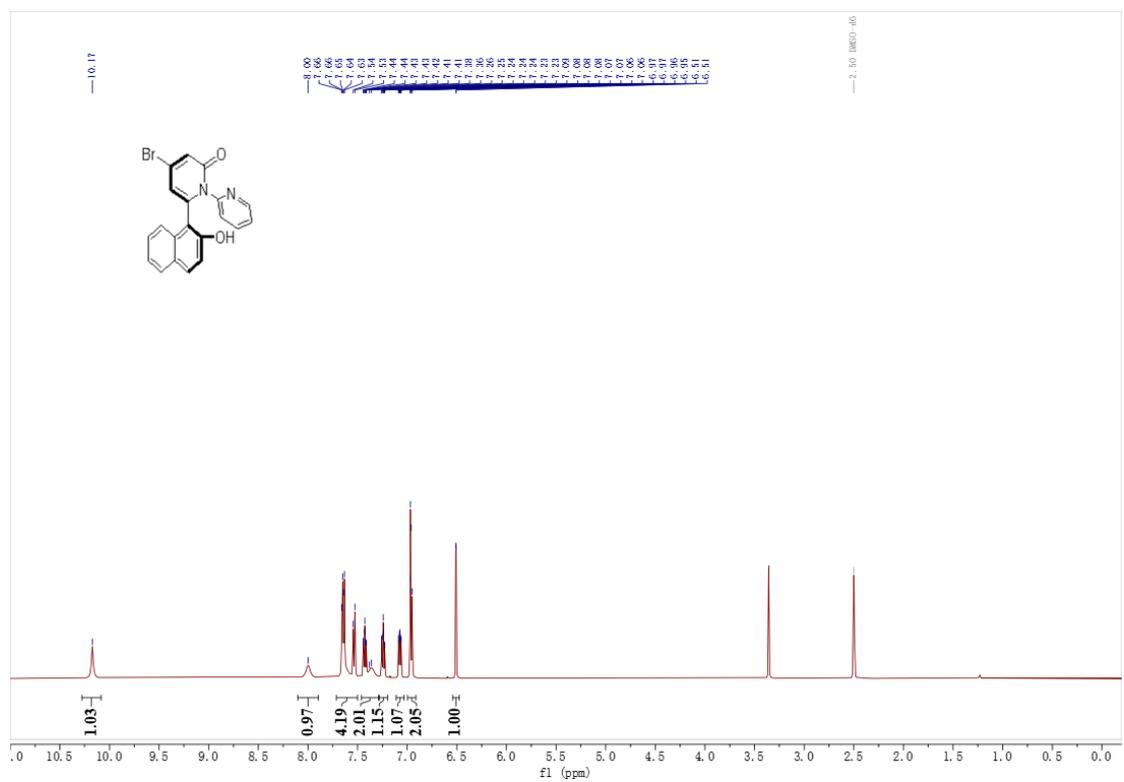
^1H NMR (400 MHz, Chloroform-*d*) spectrum of **2q**



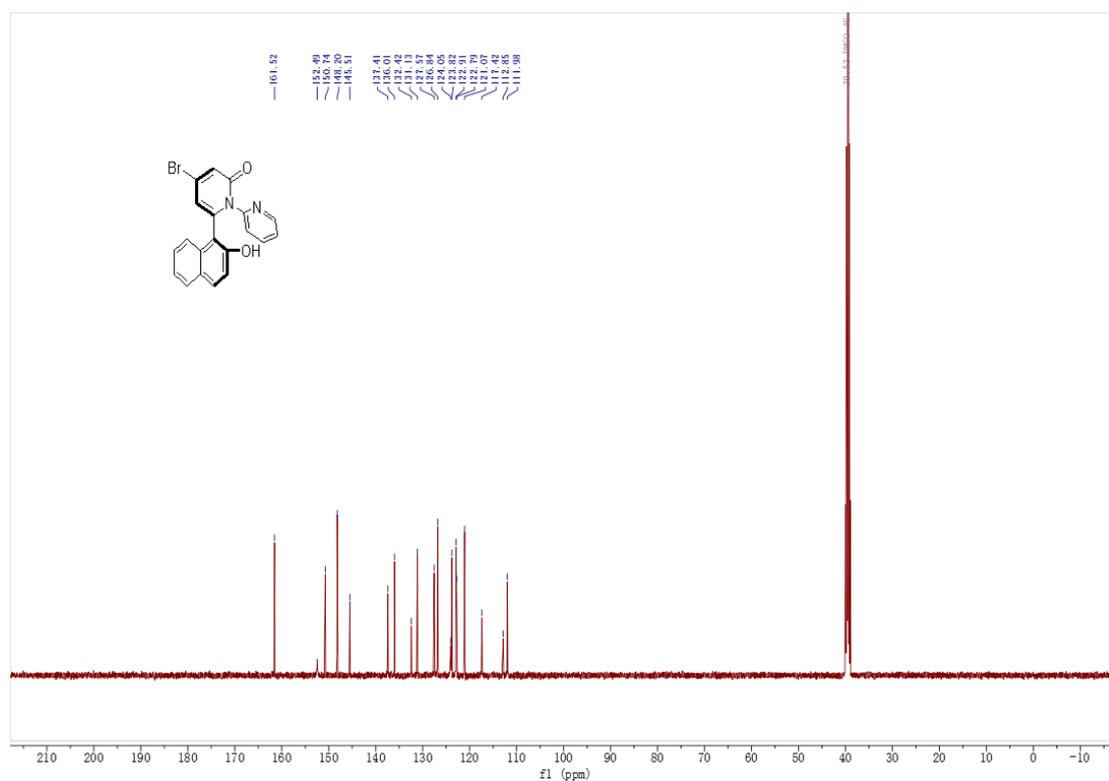
^1H NMR (400 MHz, Chloroform-*d*) spectrum of **2r**



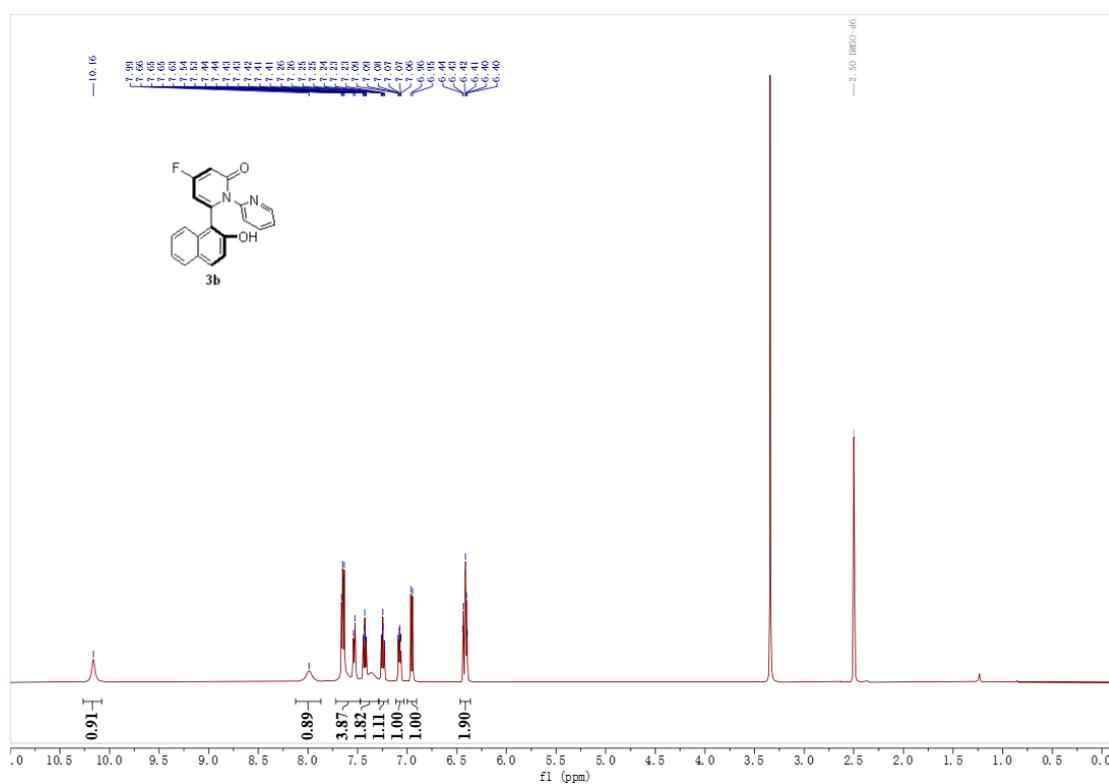
¹H NMR (400 MHz, Chloroform-*d*) spectrum of **2s**



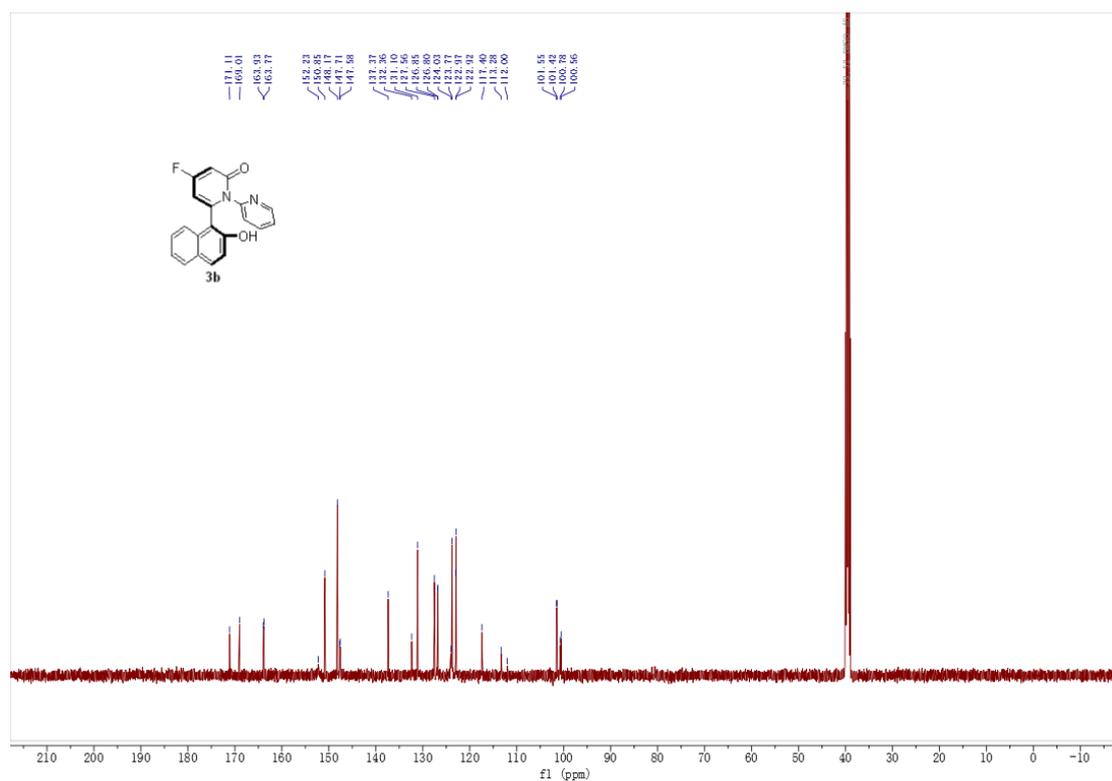
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3a**



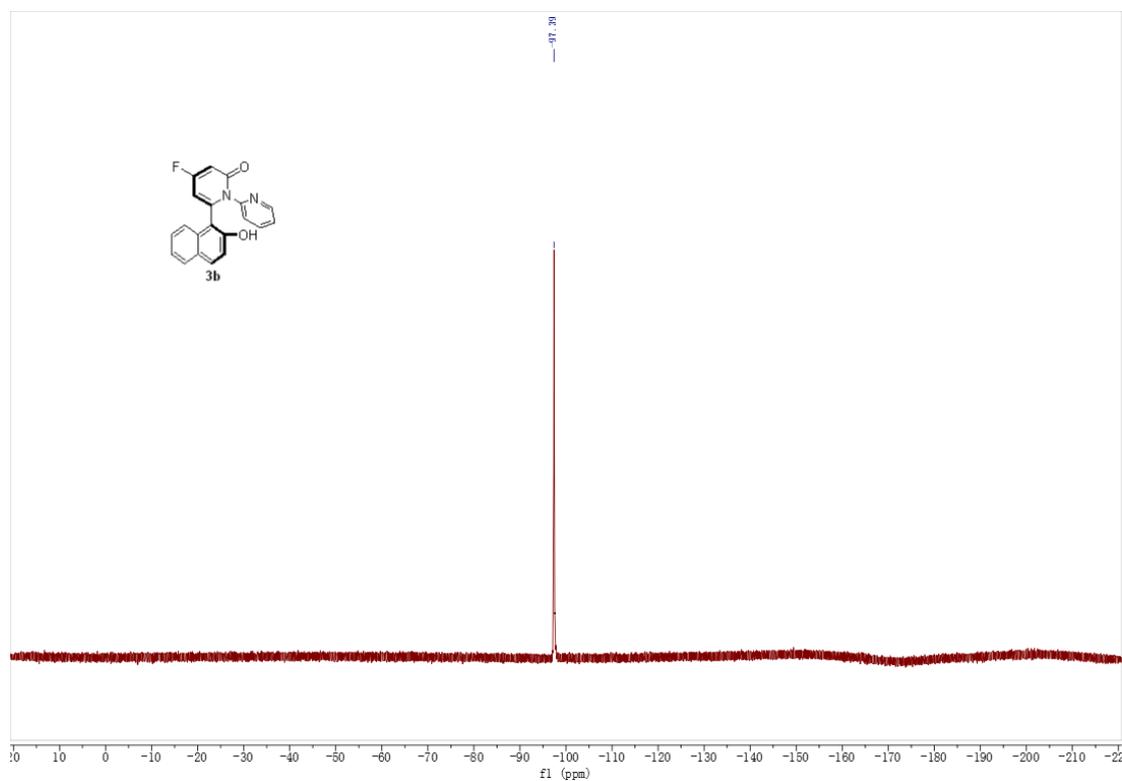
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3a**



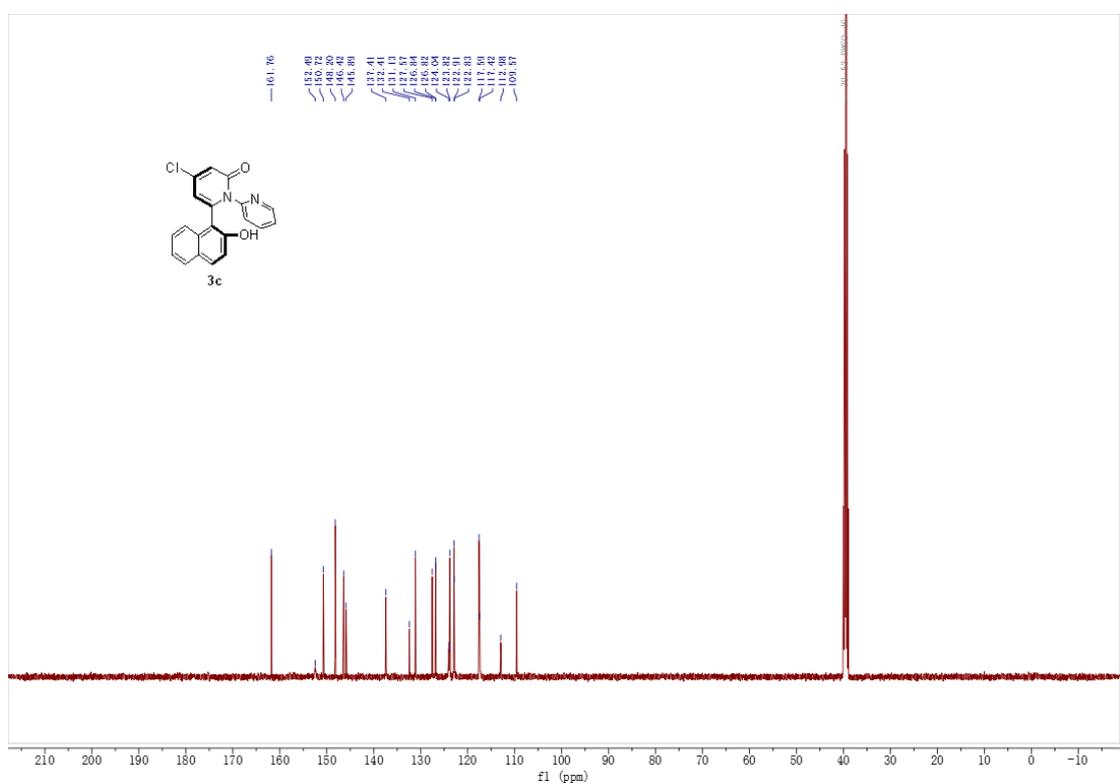
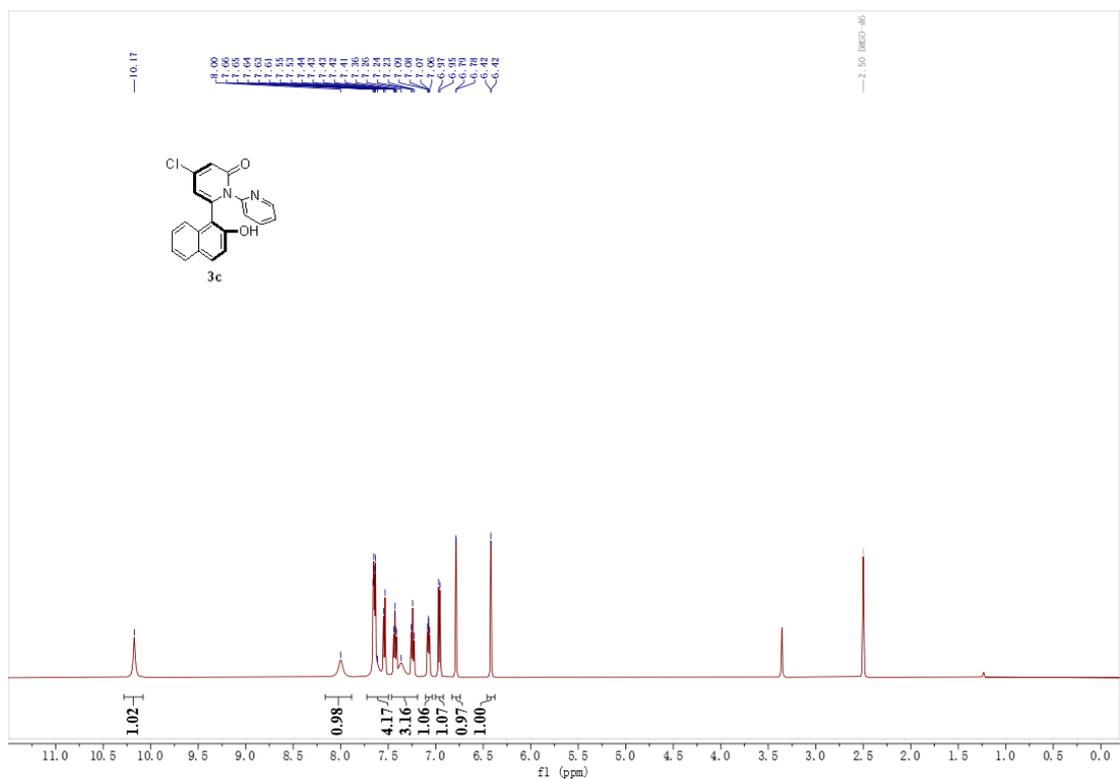
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3b**

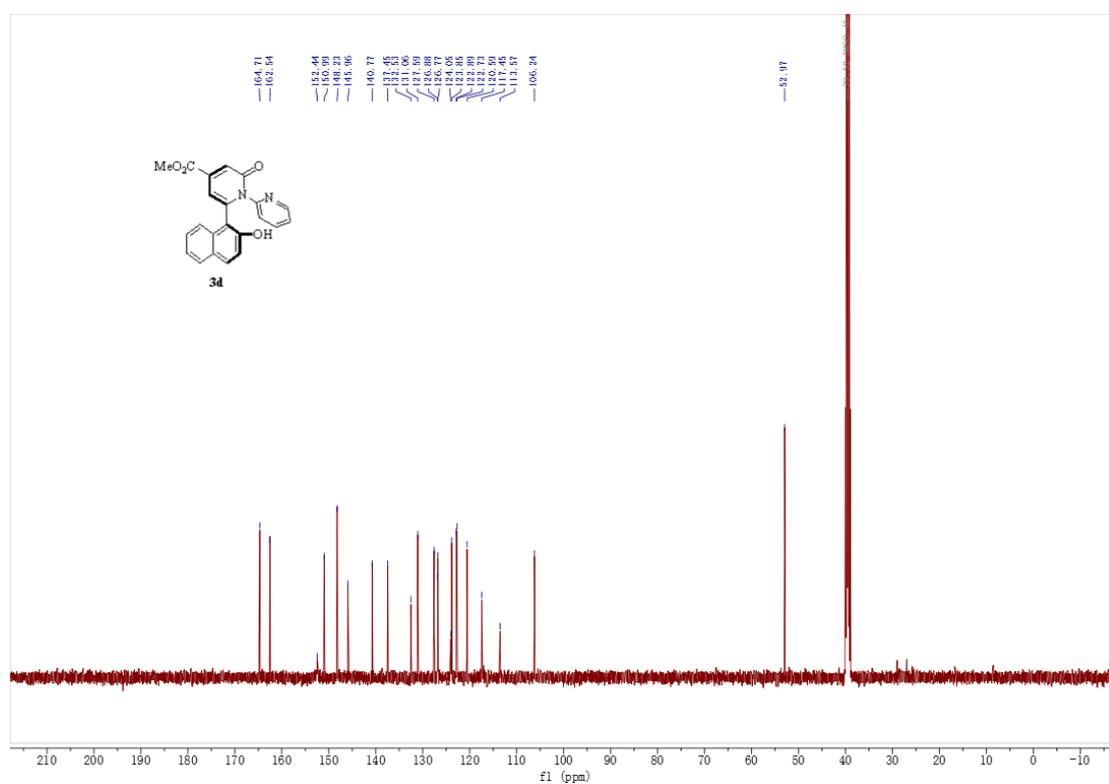
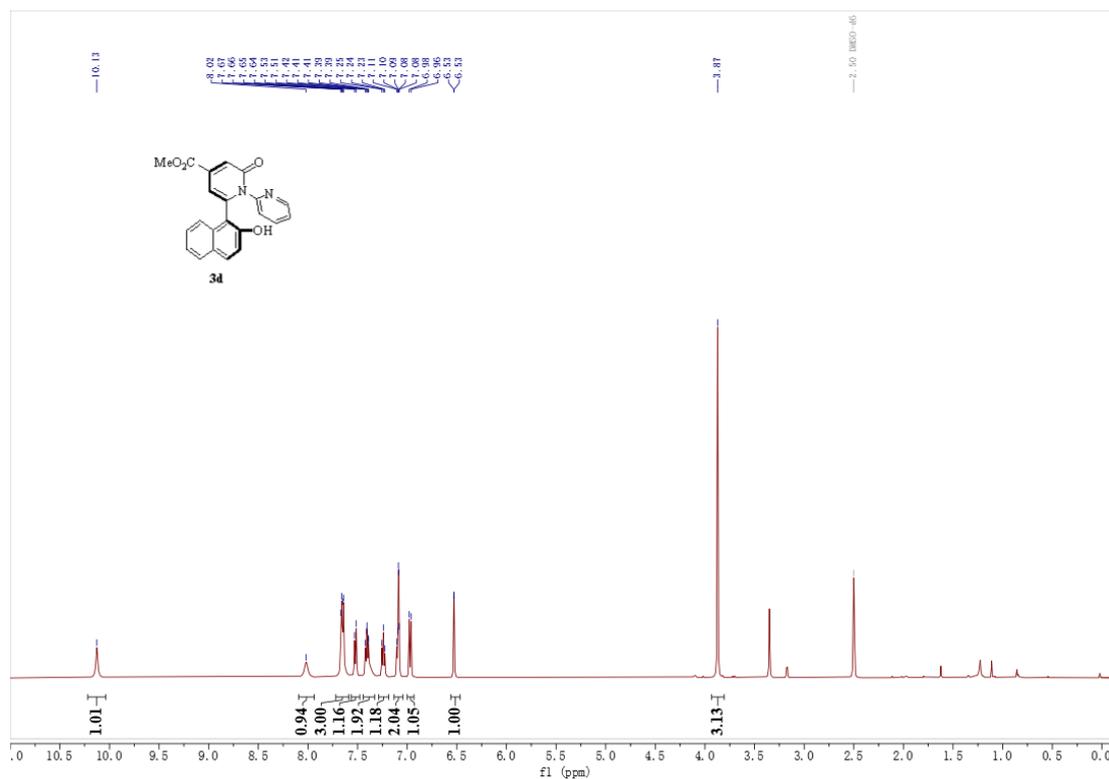


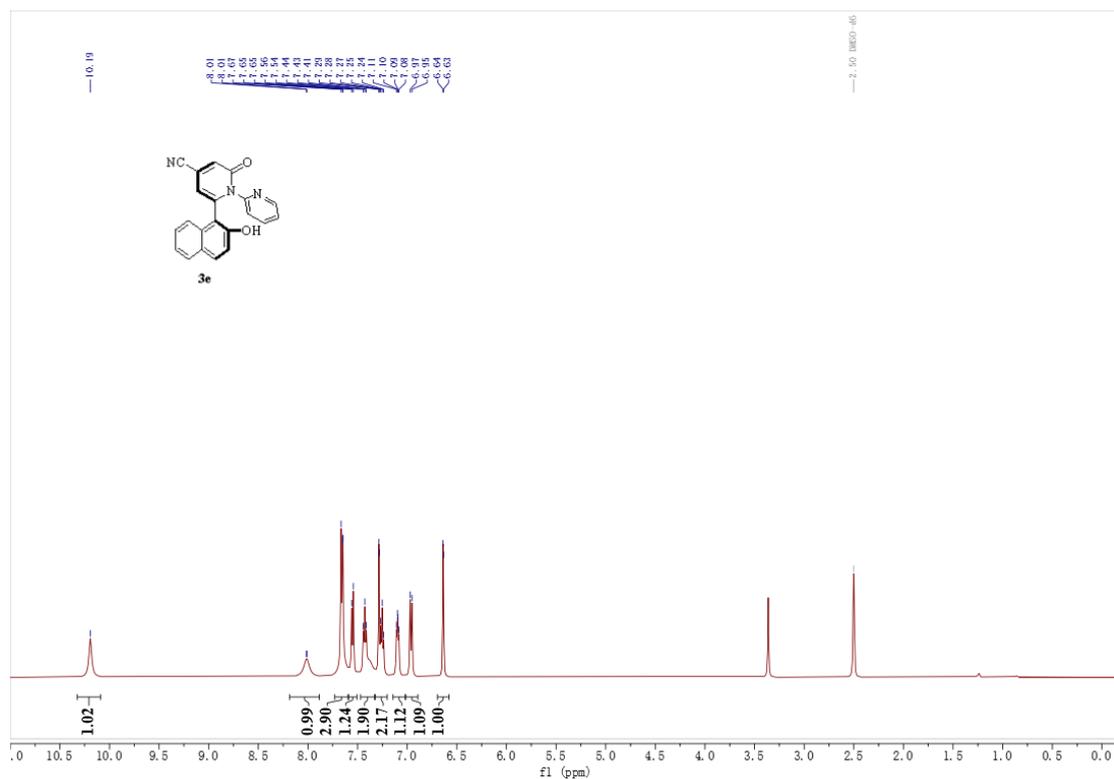
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3b**



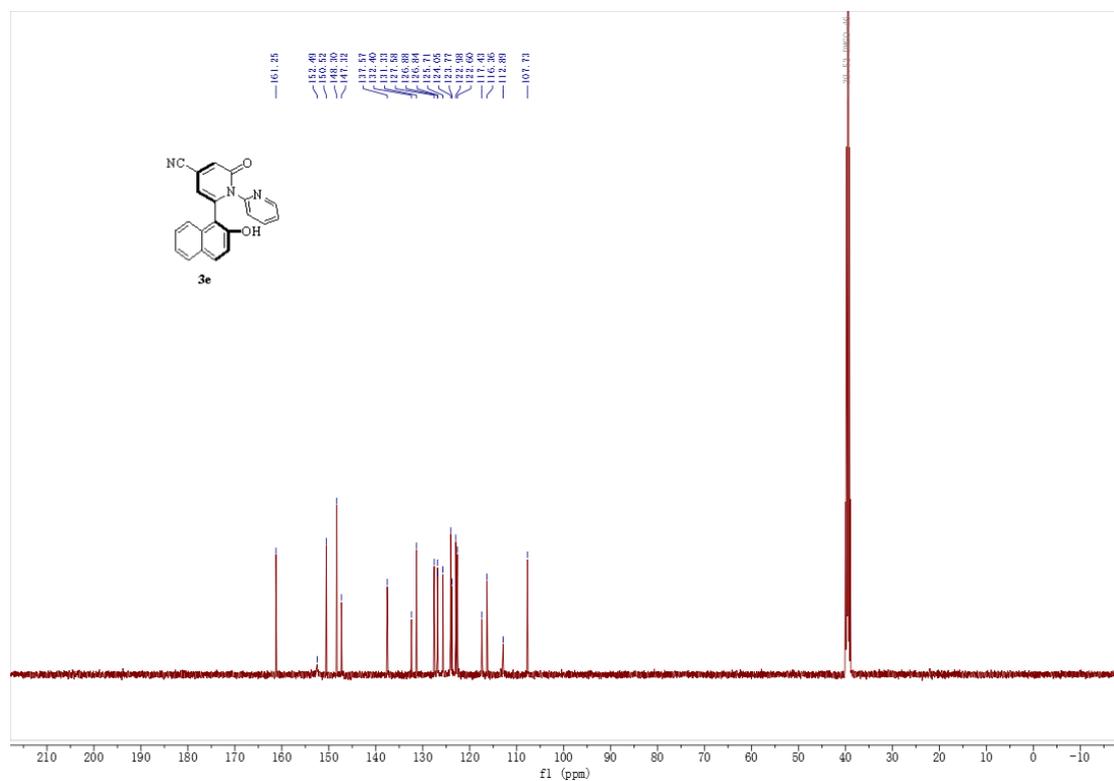
¹⁹F NMR (471 MHz, DMSO-*d*₆) spectrum of **3b**



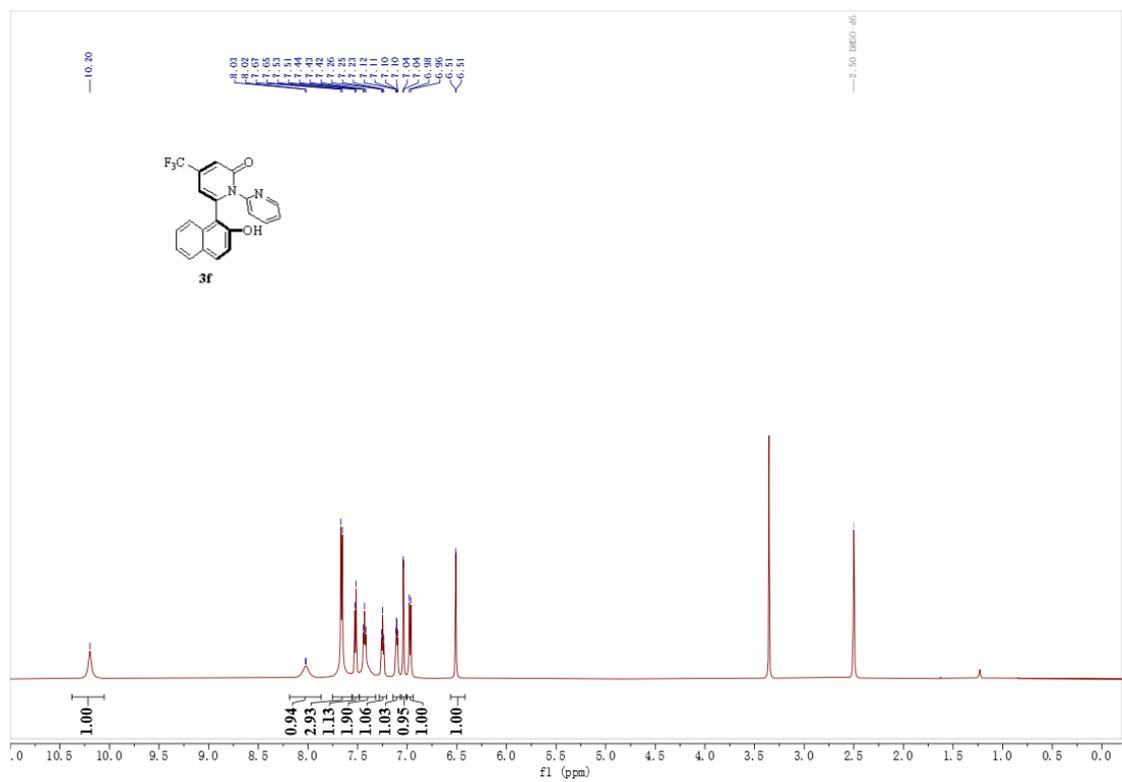




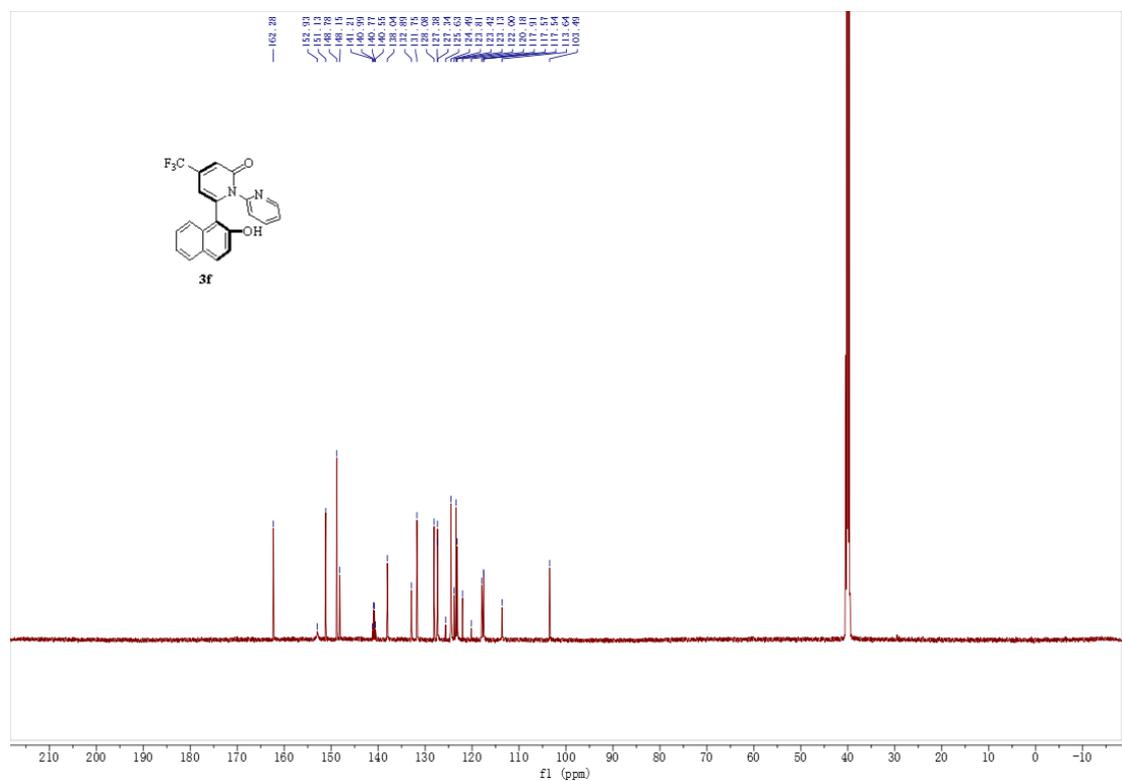
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3e**



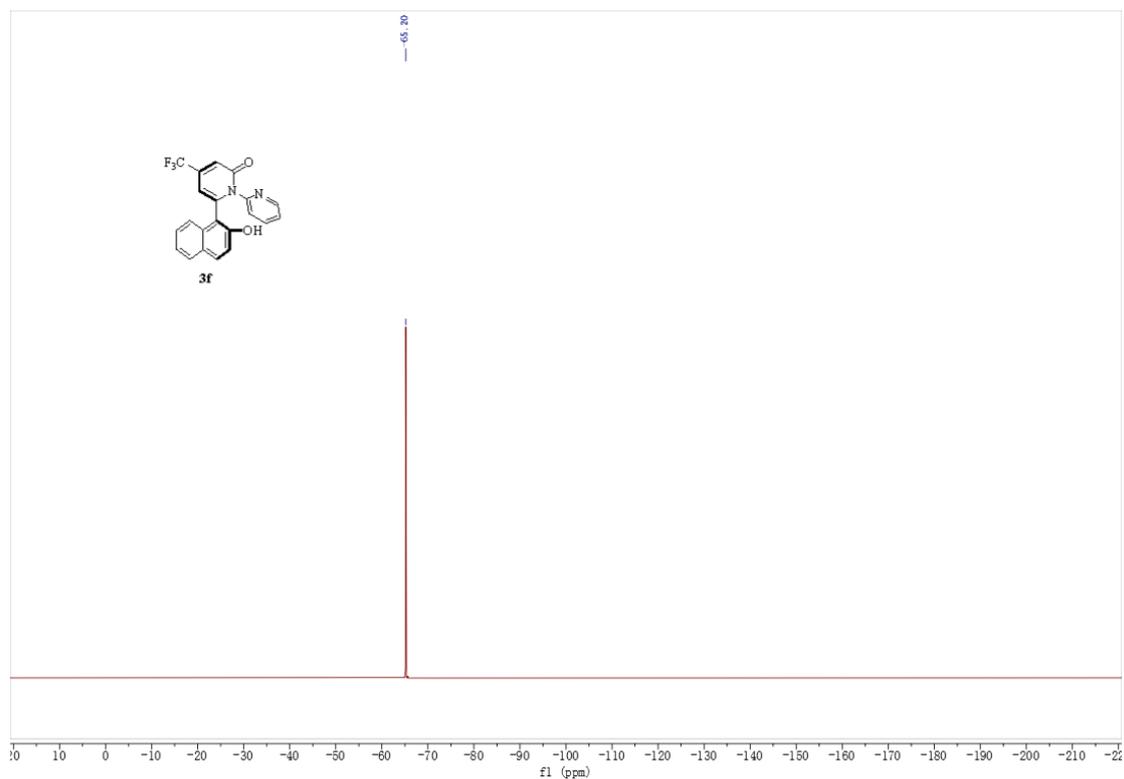
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3e**



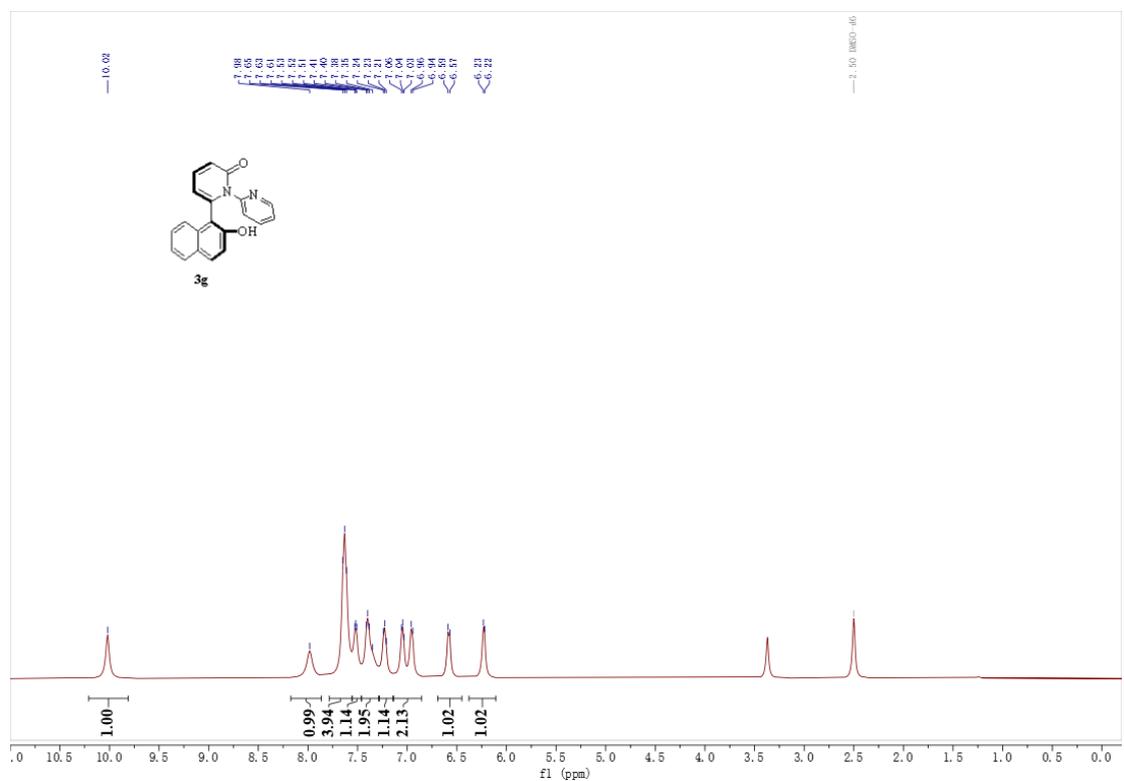
¹H NMR (600 MHz, DMSO-*d*₆) spectrum of **3f**



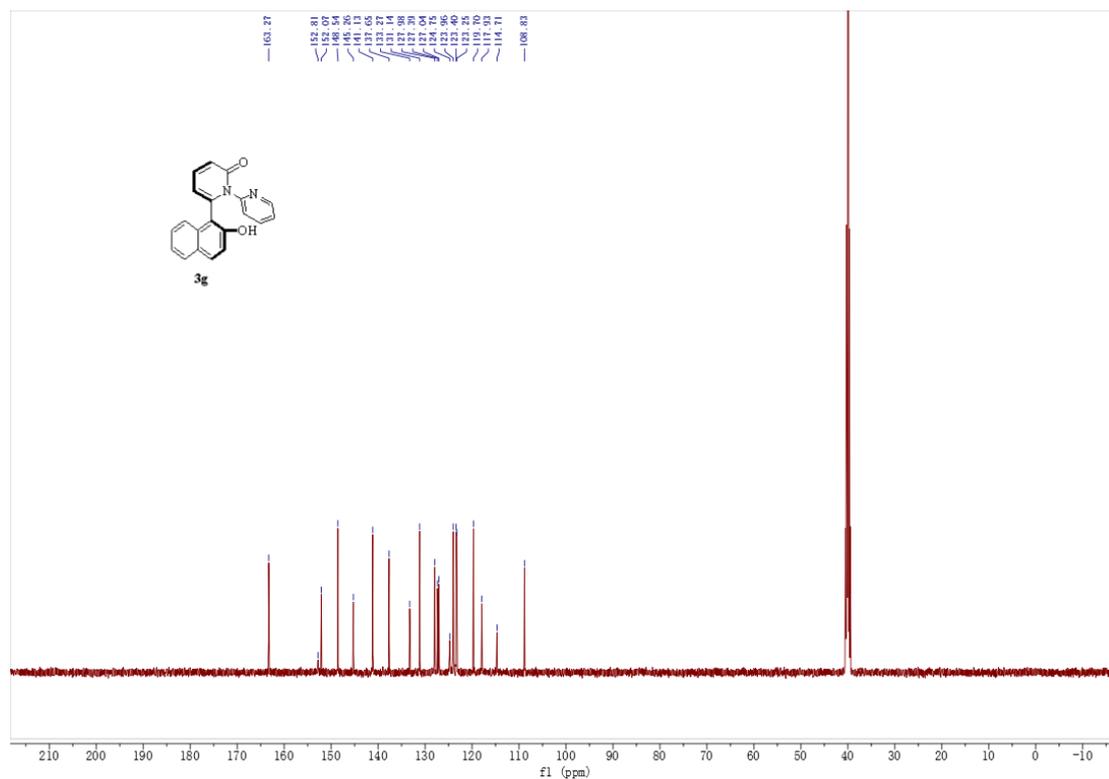
¹³C NMR (151 MHz, DMSO-*d*₆) spectrum of **3f**



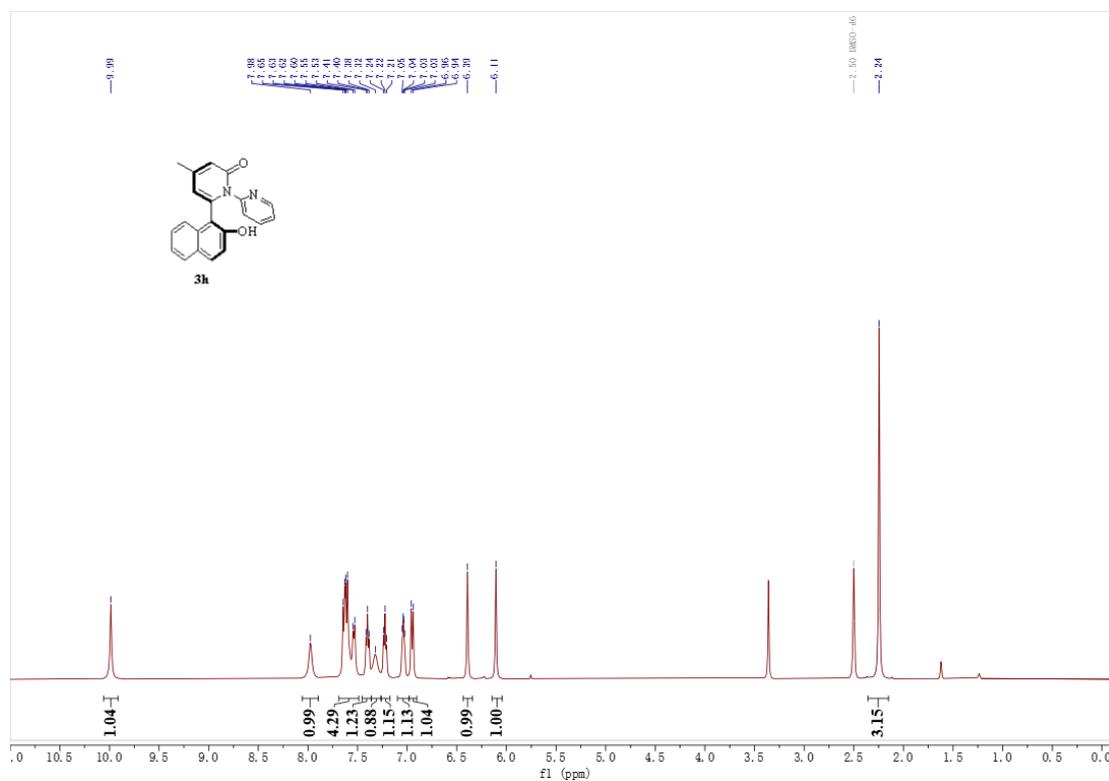
^{19}F NMR (471 MHz, $\text{DMSO-}d_6$) spectrum of **3f**



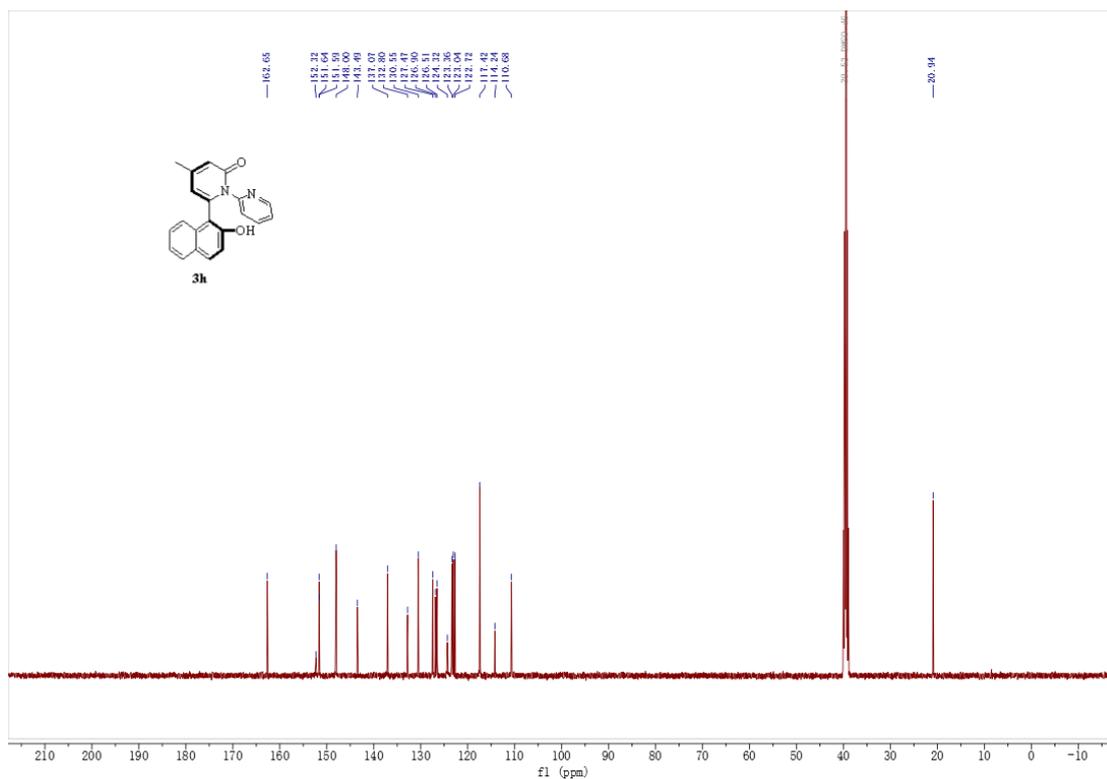
^1H NMR (500 MHz, $\text{DMSO-}d_6$) spectrum of **3g**



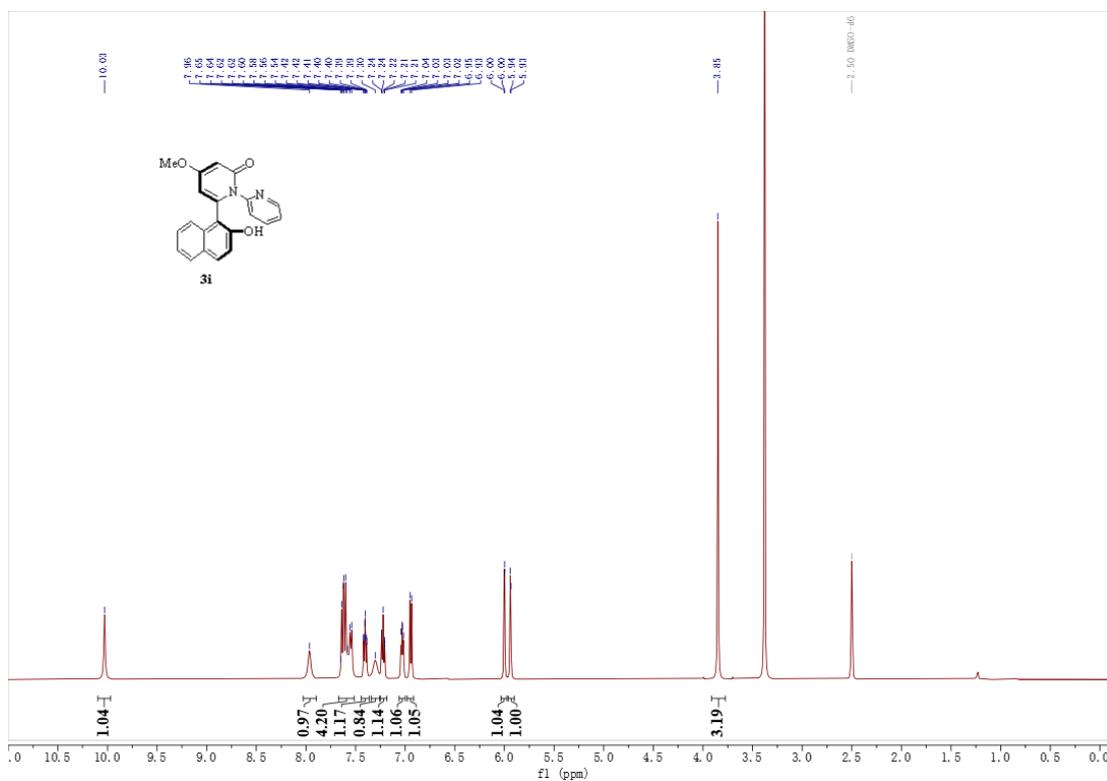
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3g**



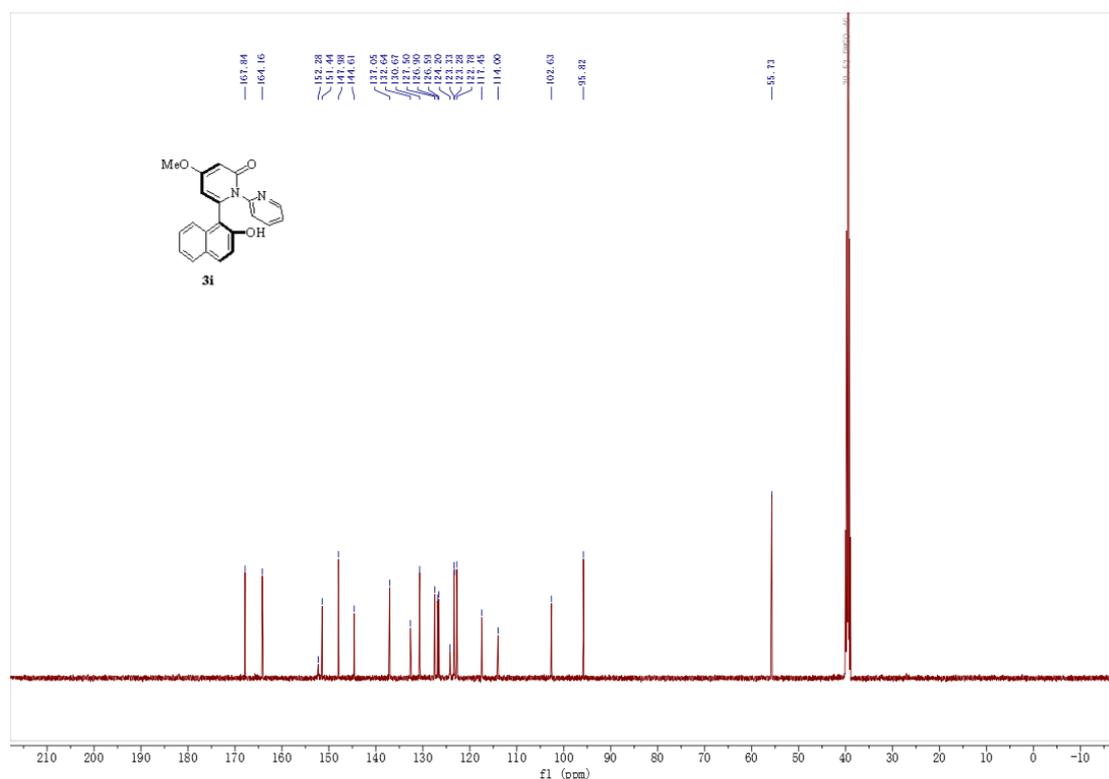
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3h**



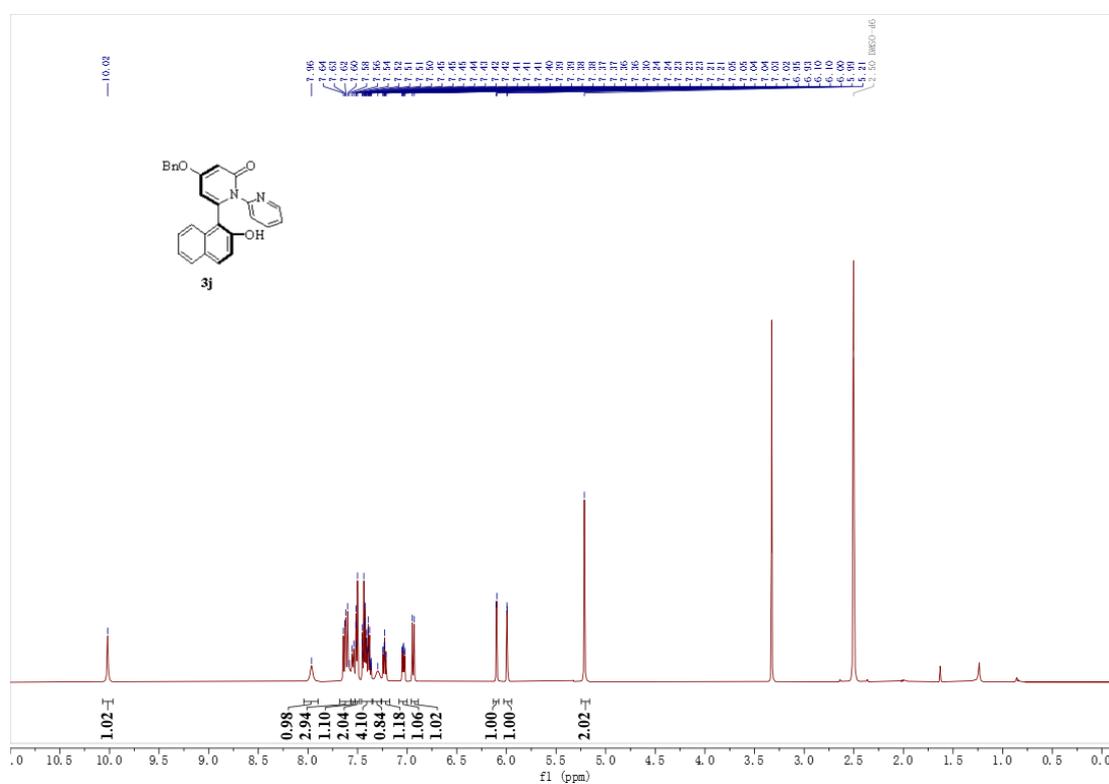
^{13}C NMR (126 MHz, $\text{DMSO-}d_6$) spectrum of **3h**



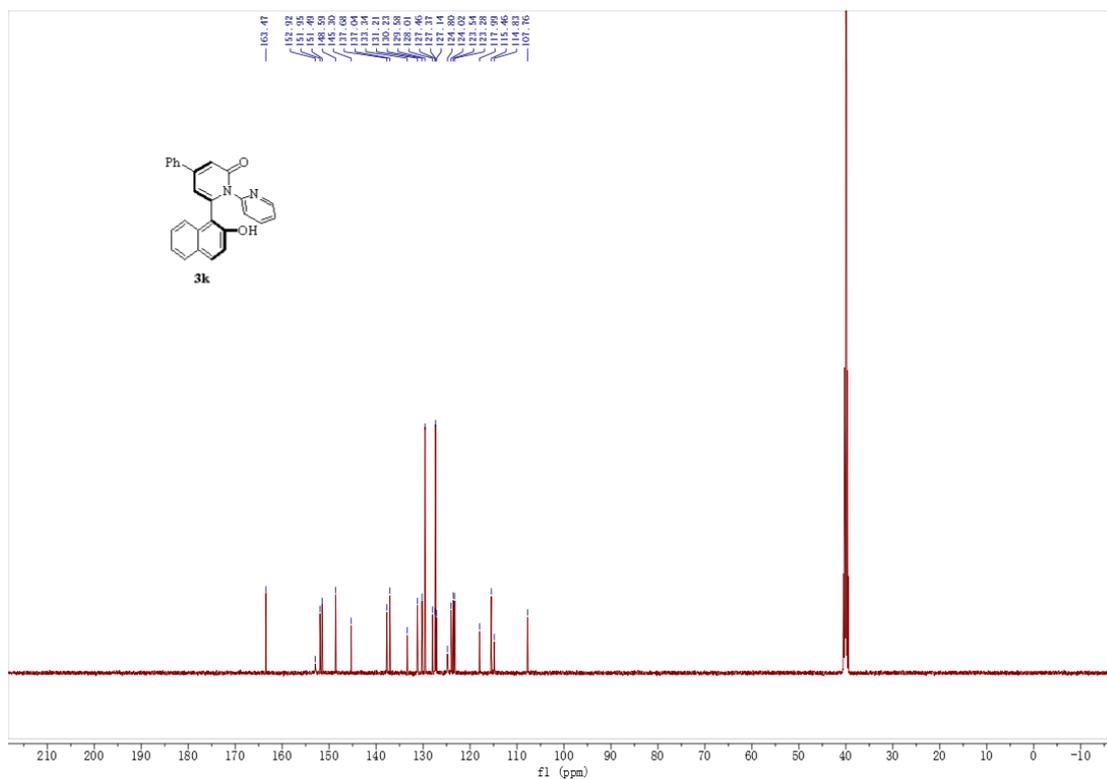
^1H NMR (500 MHz, $\text{DMSO-}d_6$) spectrum of **3i**



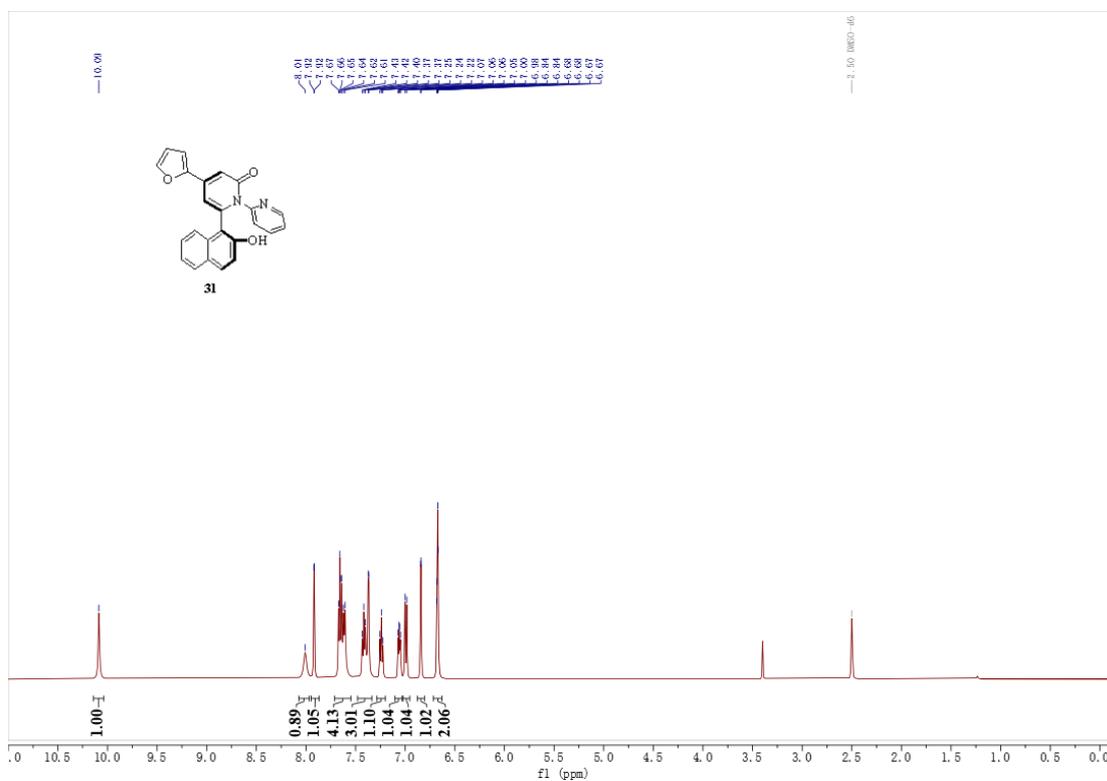
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3i**



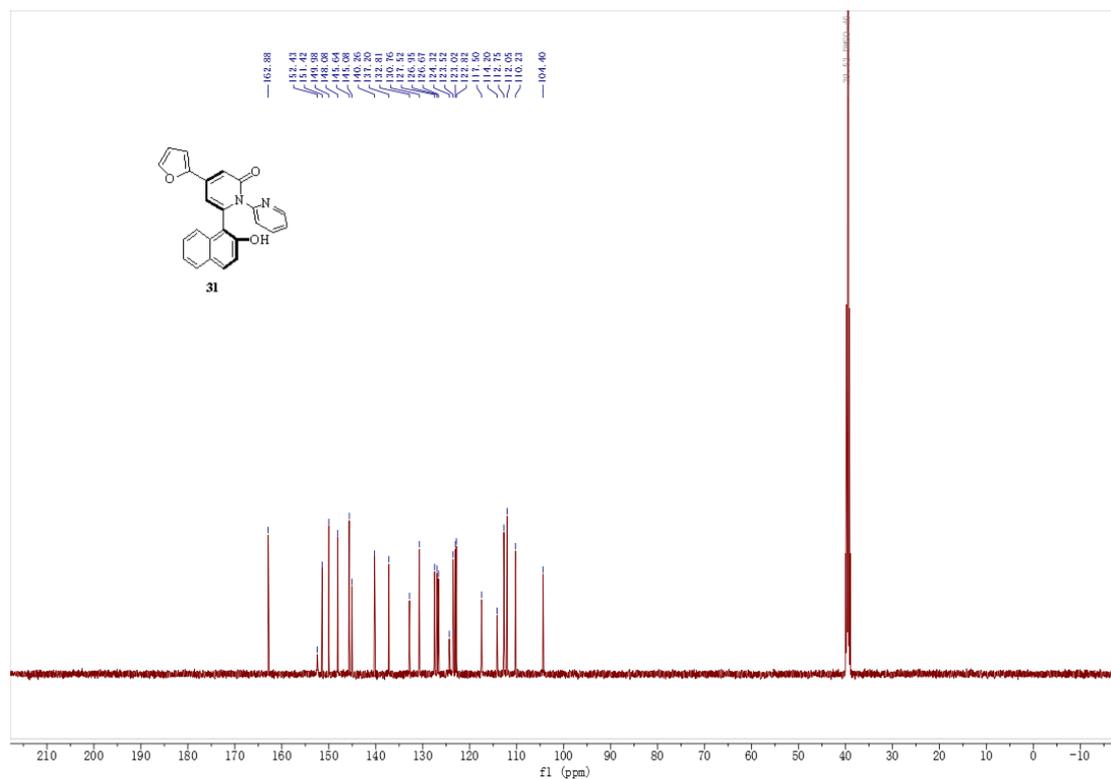
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3j**



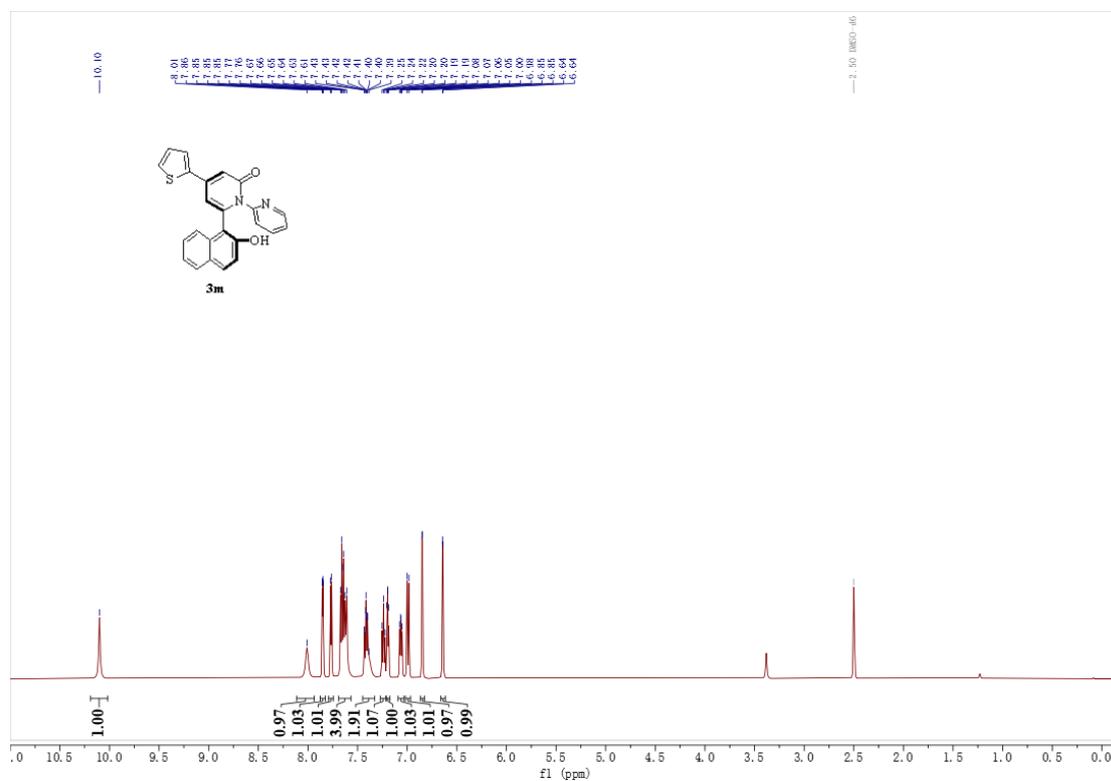
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3k**



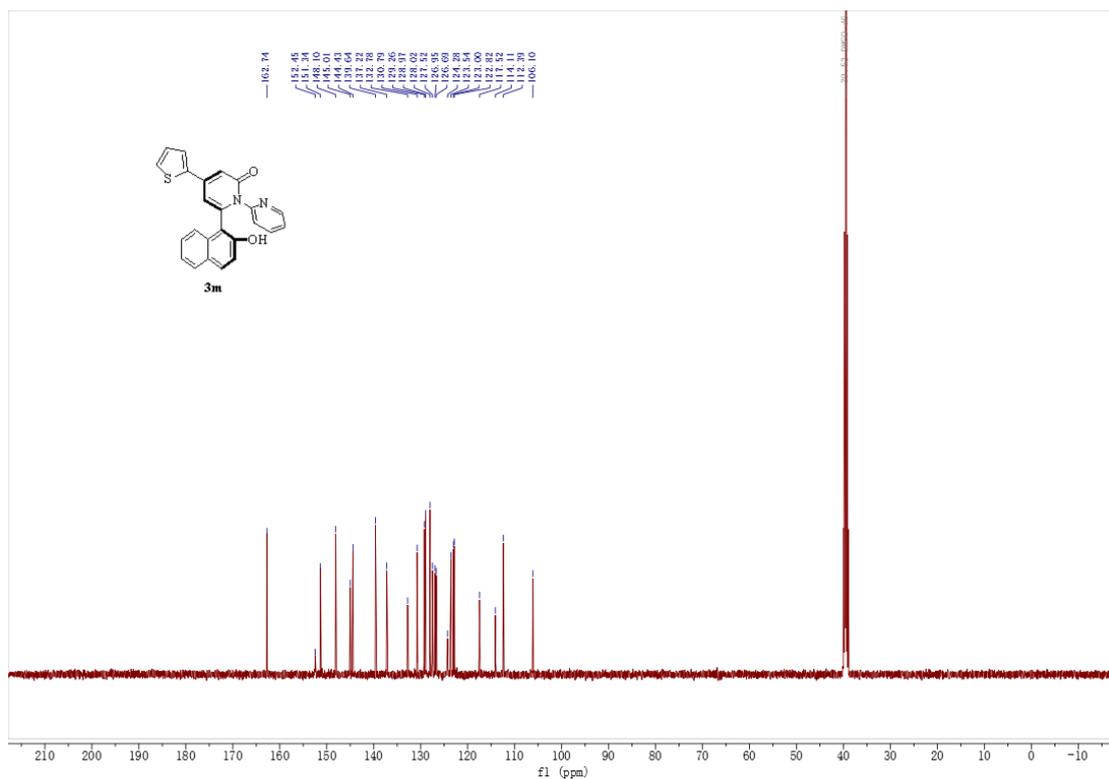
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3l**



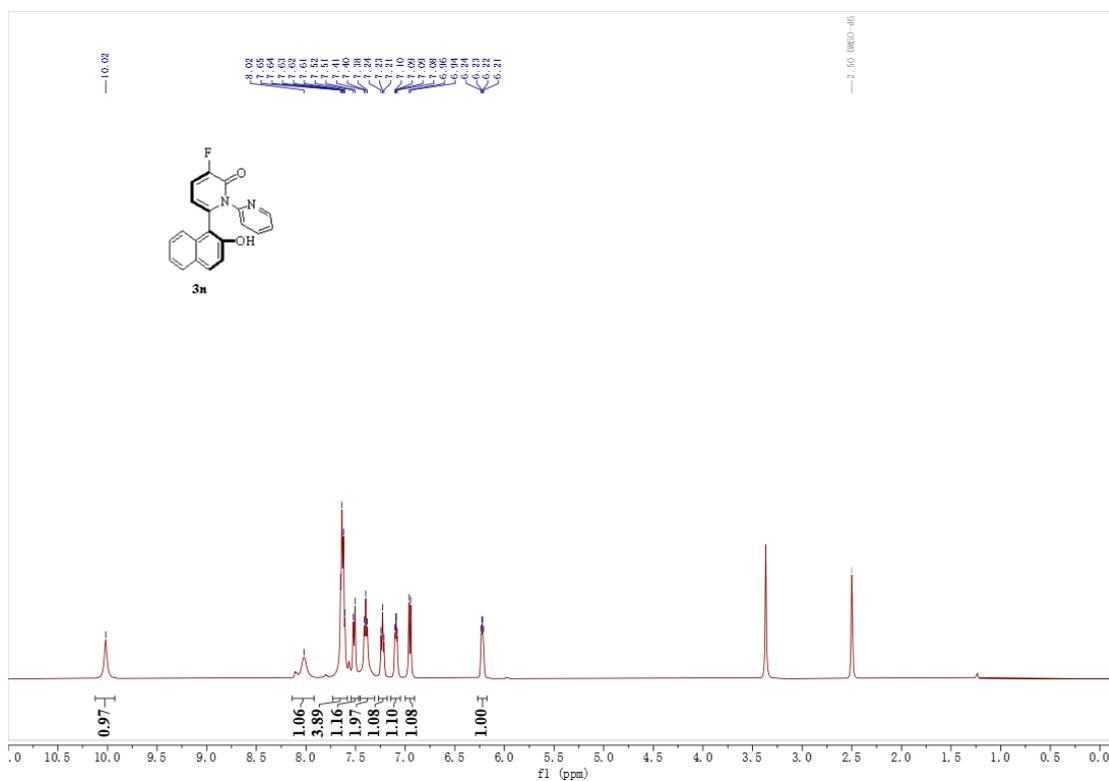
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **31**



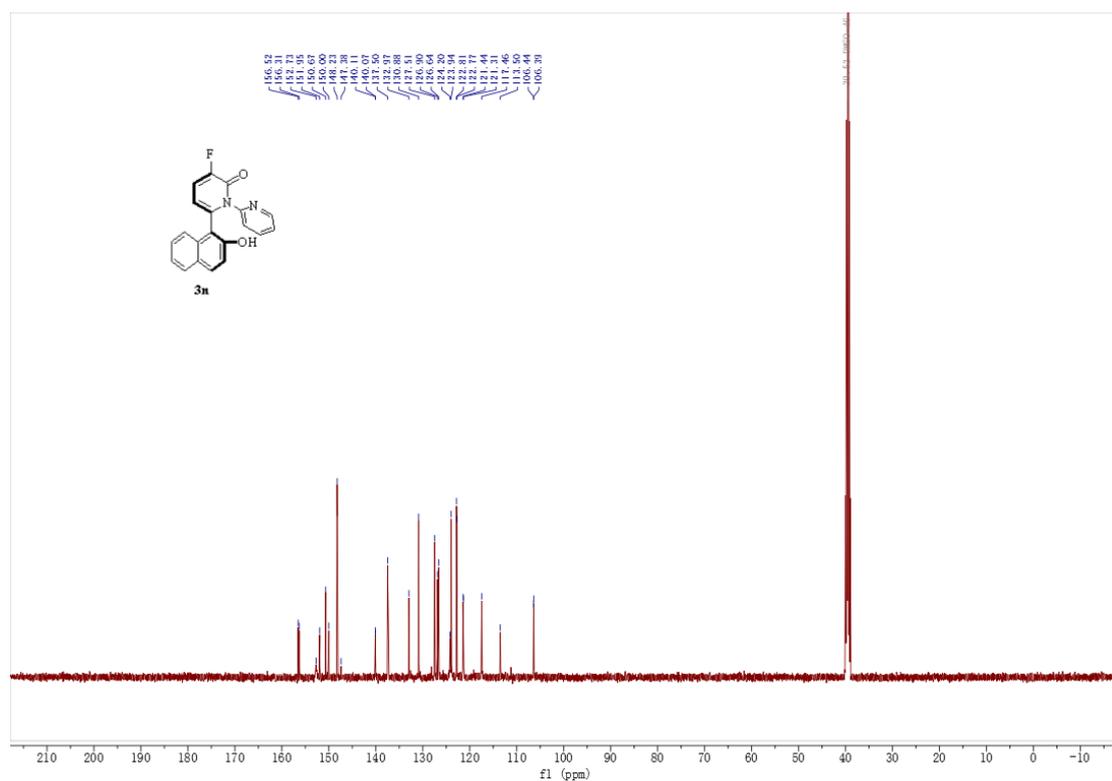
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3m**



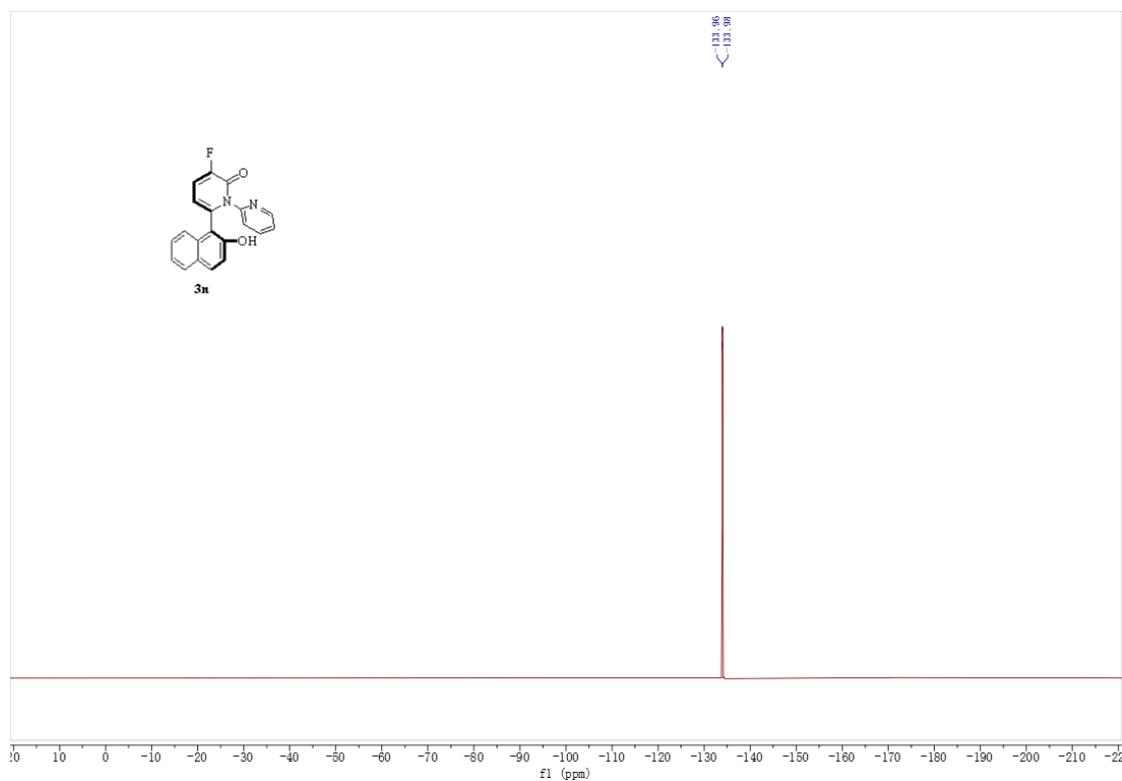
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3m**



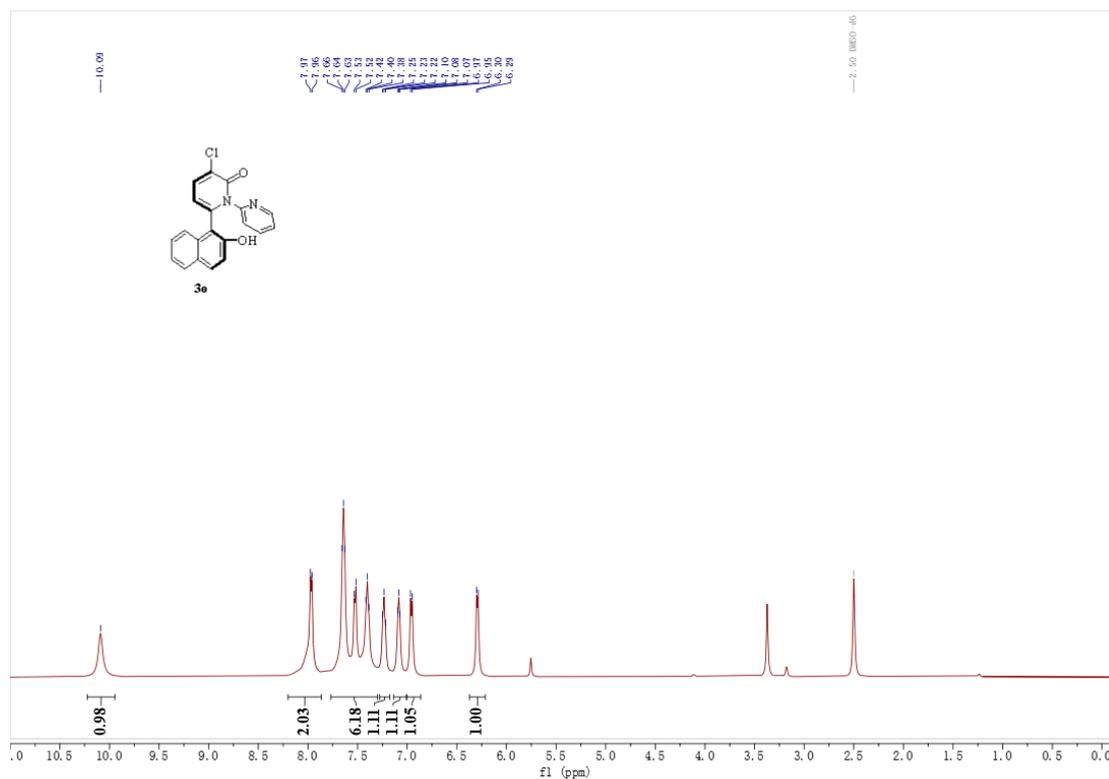
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3n**



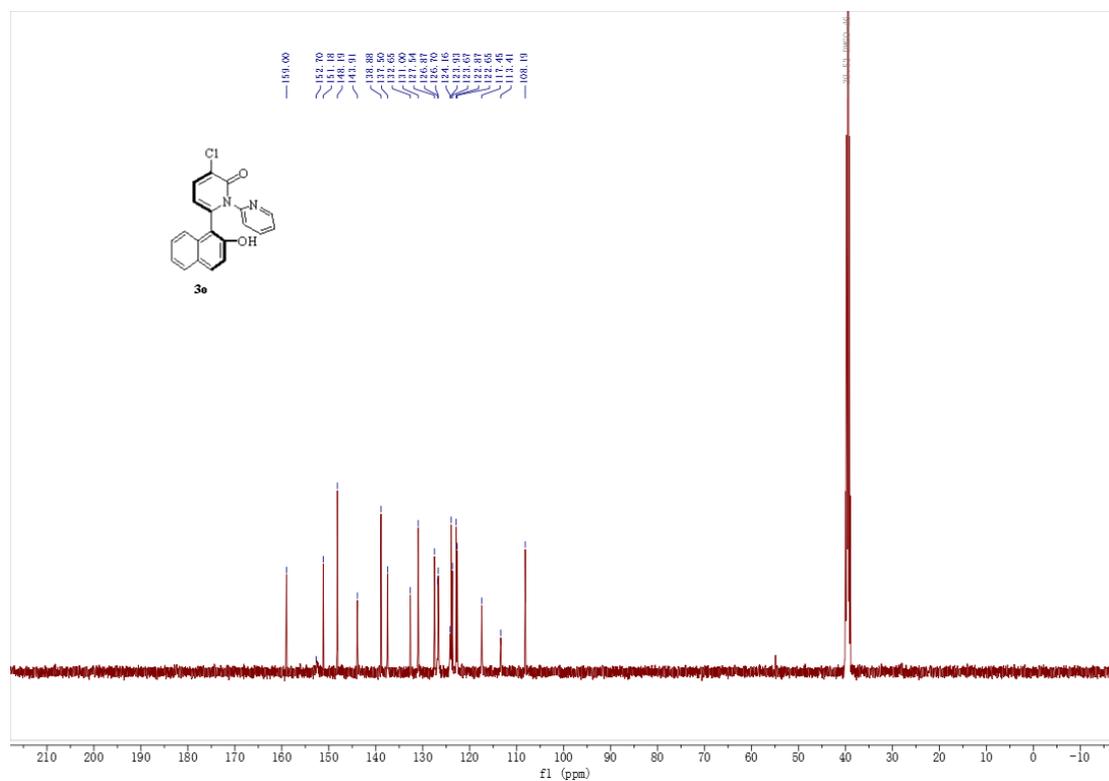
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3n**



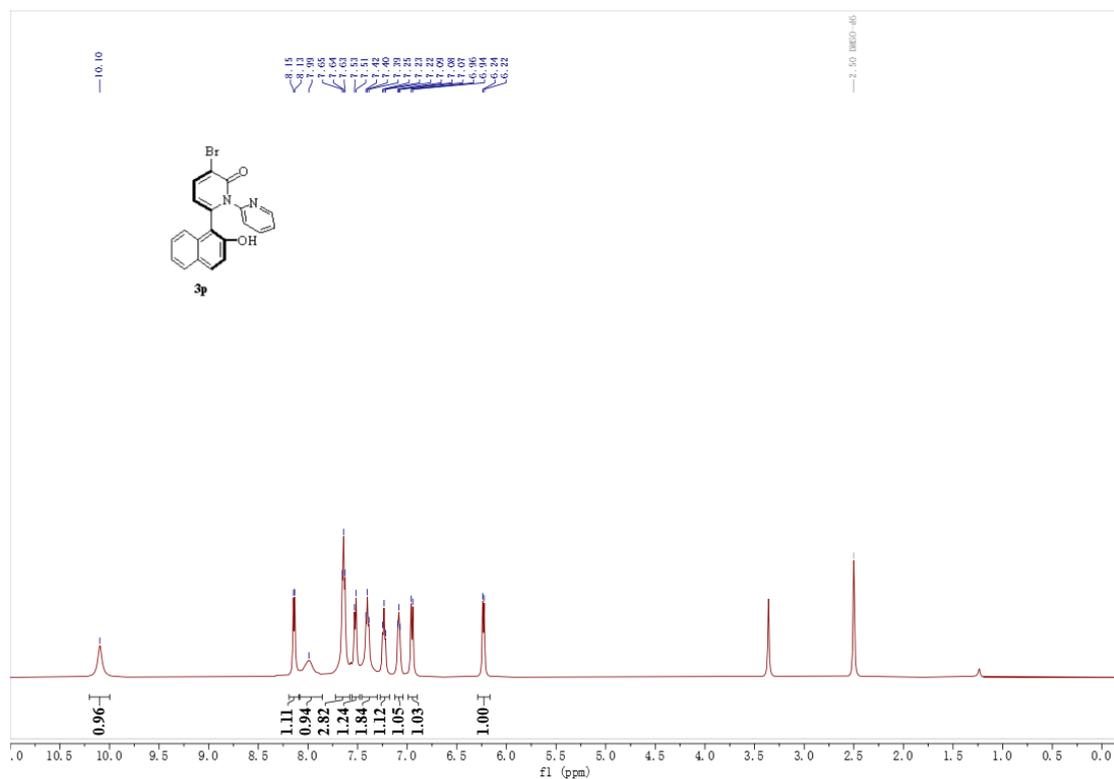
¹⁹F NMR (471 MHz, DMSO-*d*₆) spectrum of **3n**



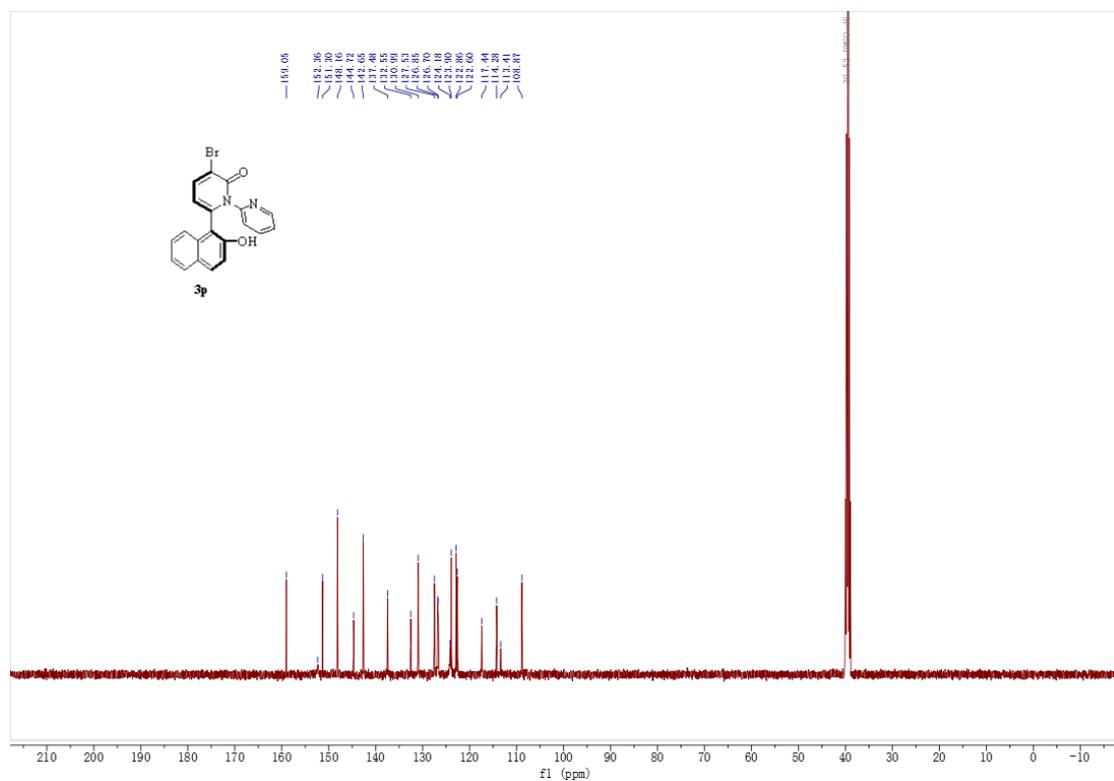
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3o**



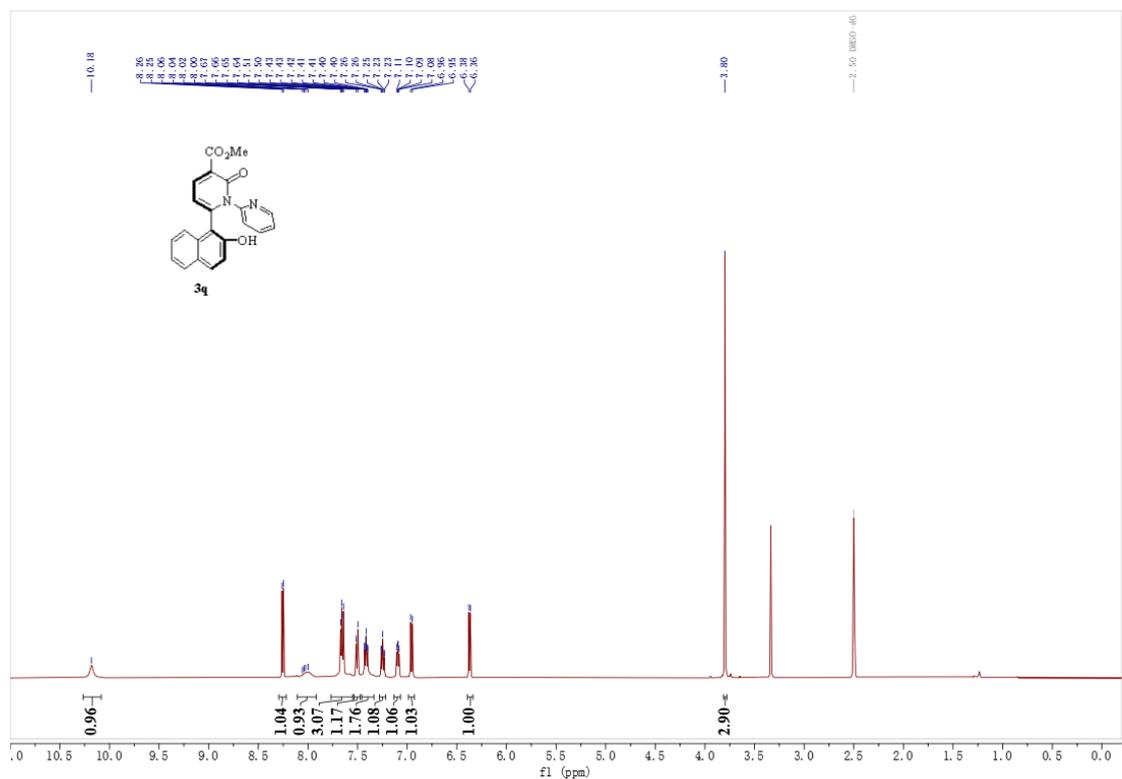
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3o**



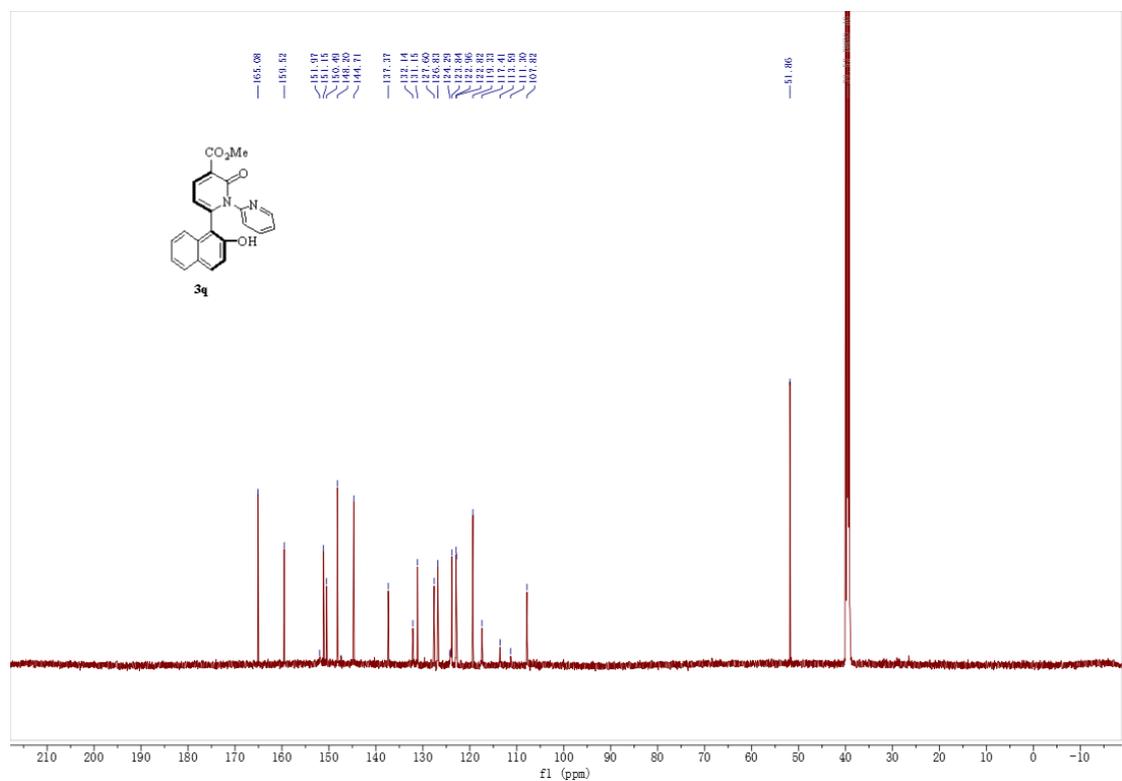
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3p**



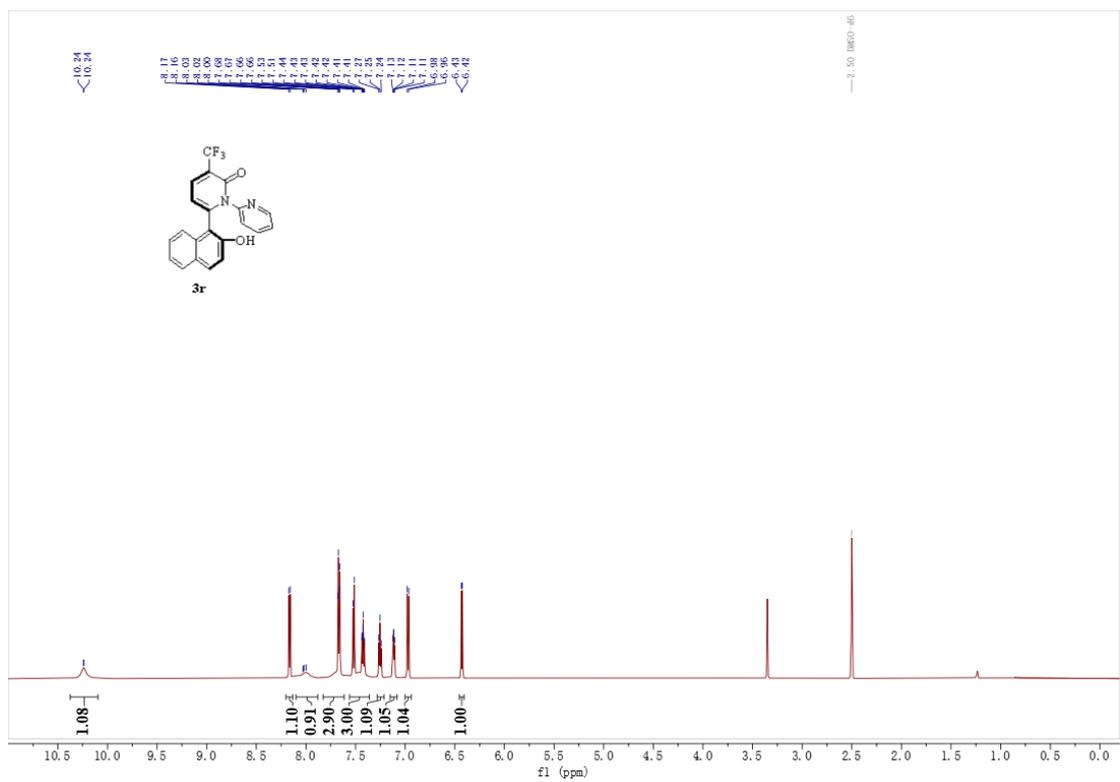
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3p**



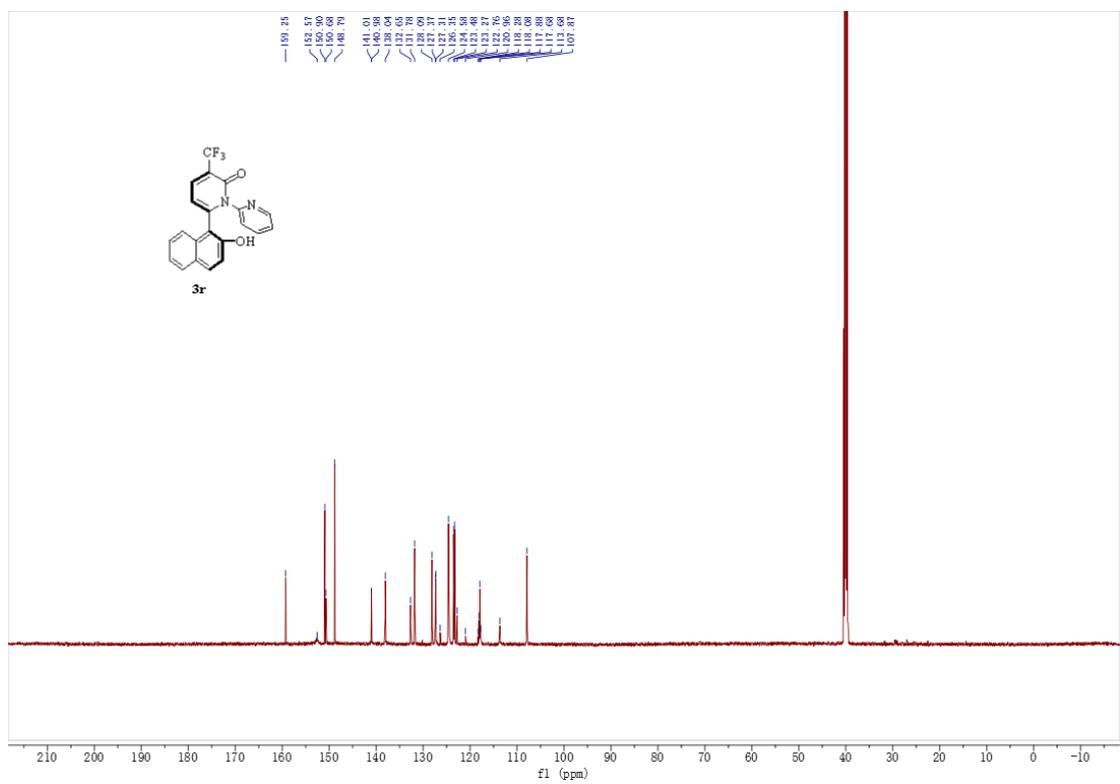
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3q**



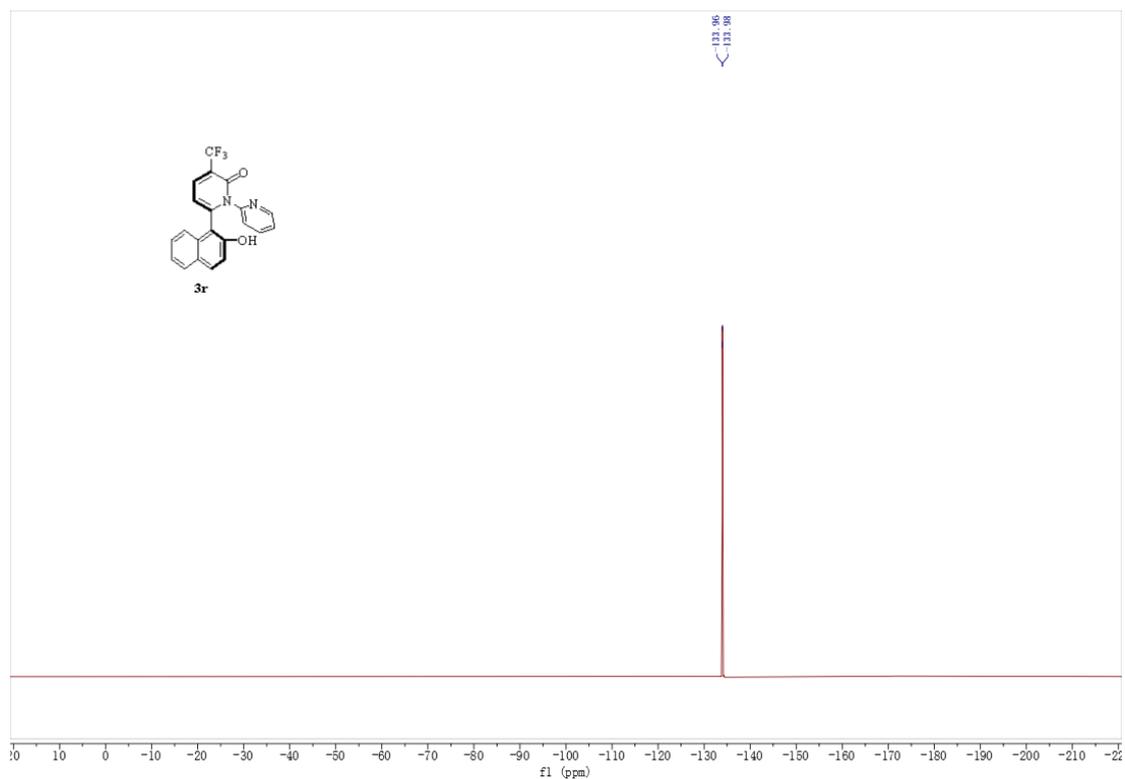
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3q**



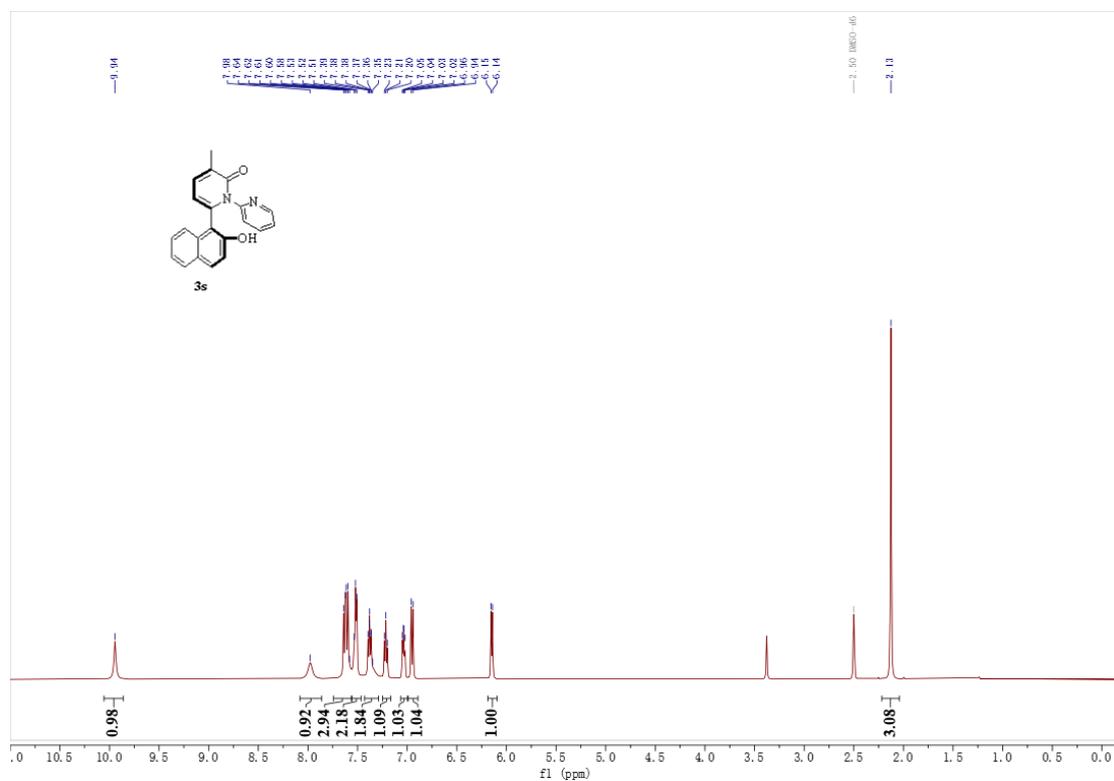
¹H NMR (600 MHz, DMSO-*d*₆) spectrum of **3r**



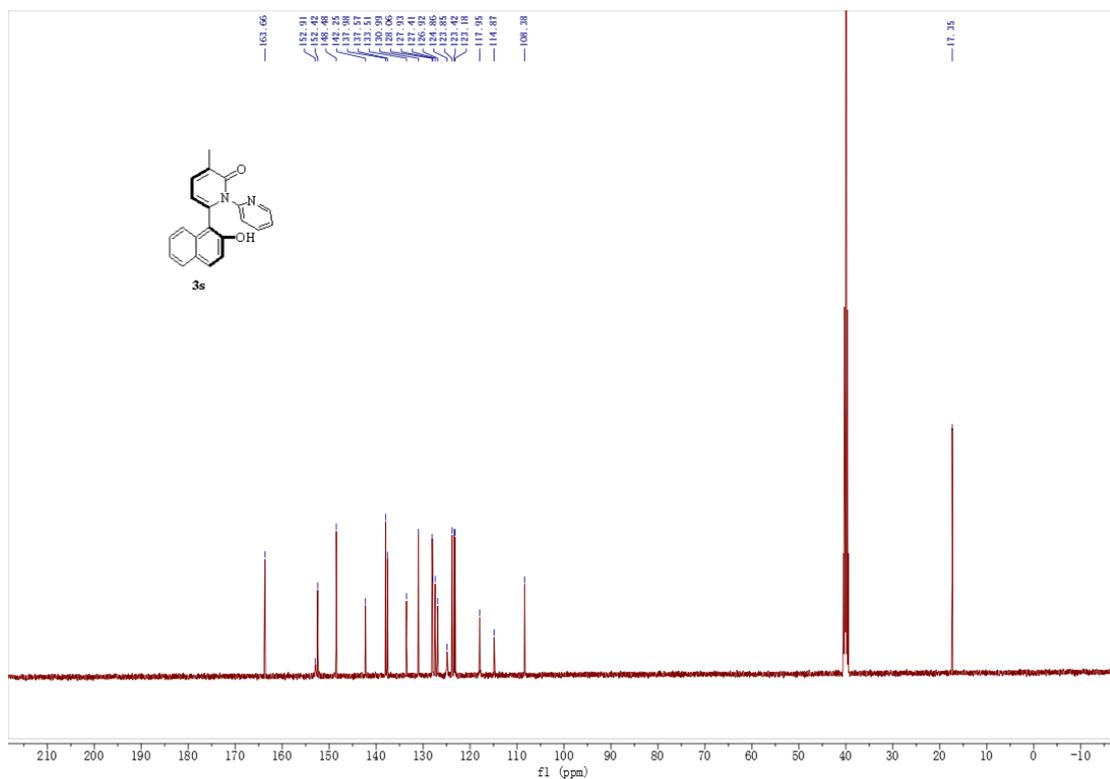
¹³C NMR (151 MHz, DMSO-*d*₆) spectrum of **3r**



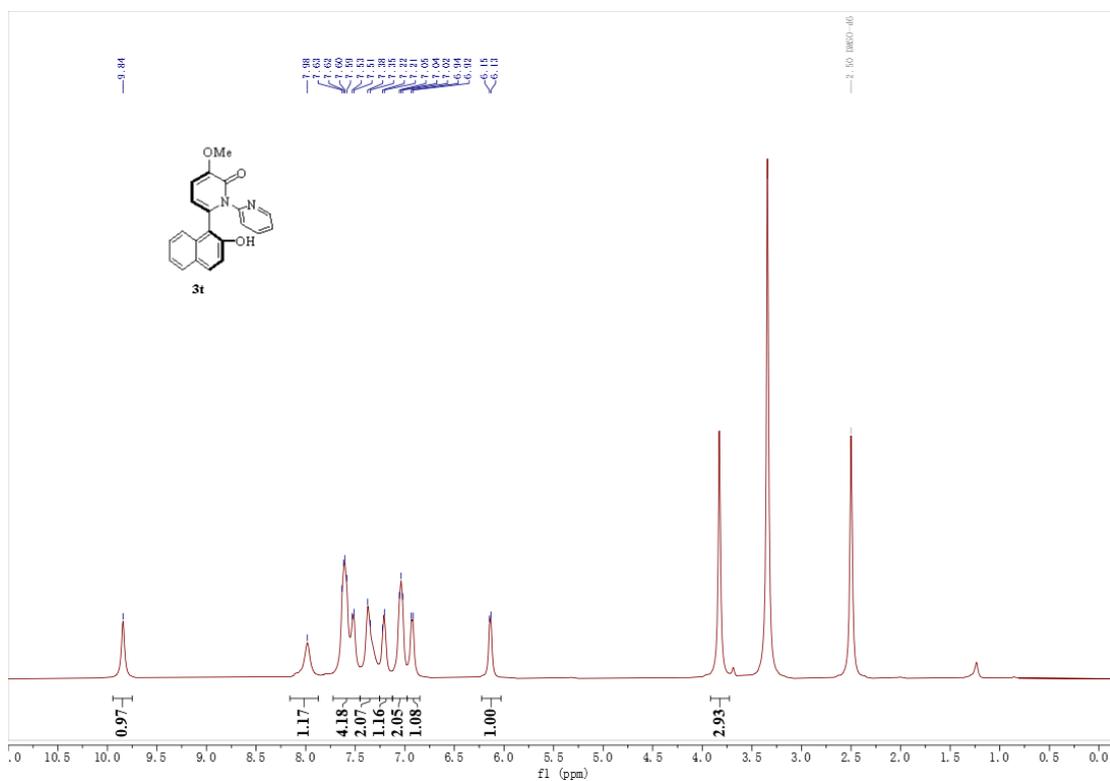
^{19}F NMR (471 MHz, $\text{DMSO}-d_6$) spectrum of **3r**



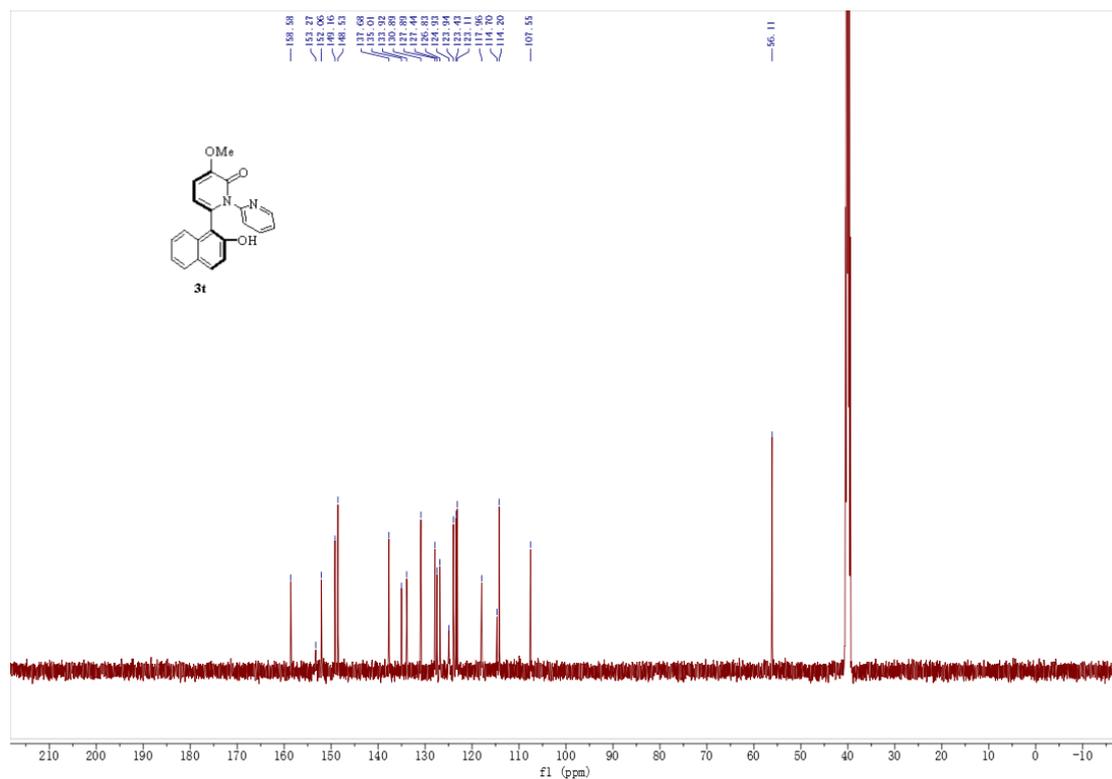
^1H NMR (500 MHz, $\text{DMSO}-d_6$) spectrum of **3s**



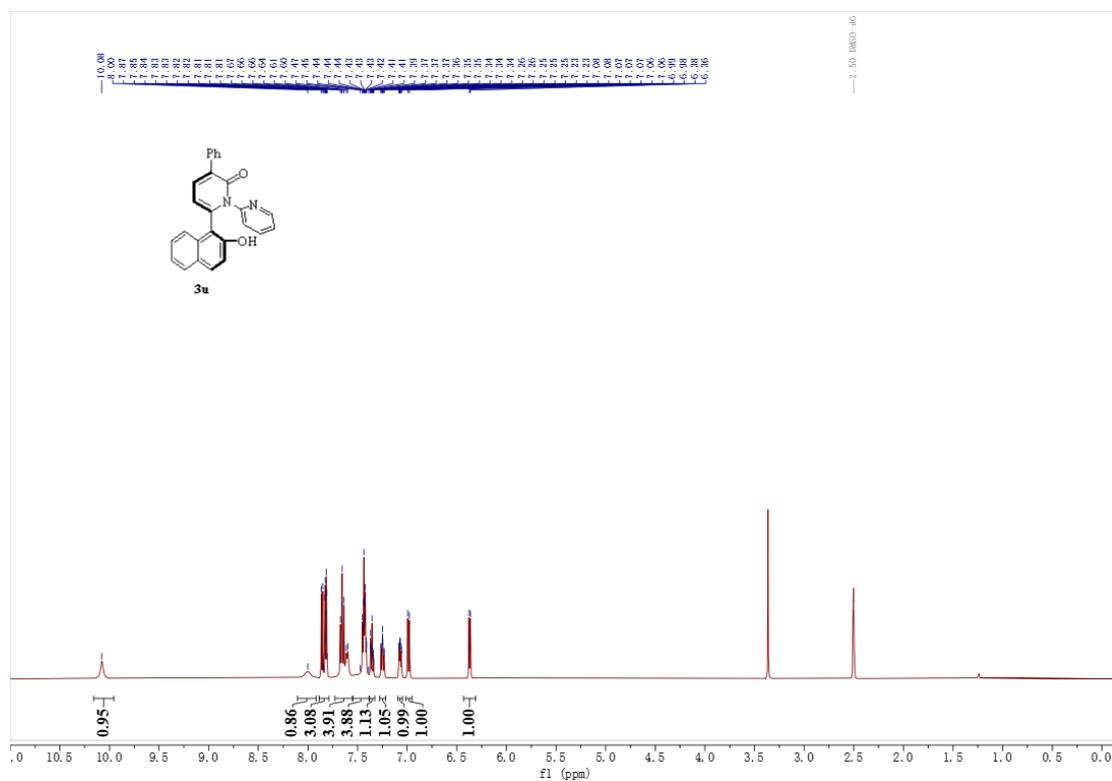
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3s**



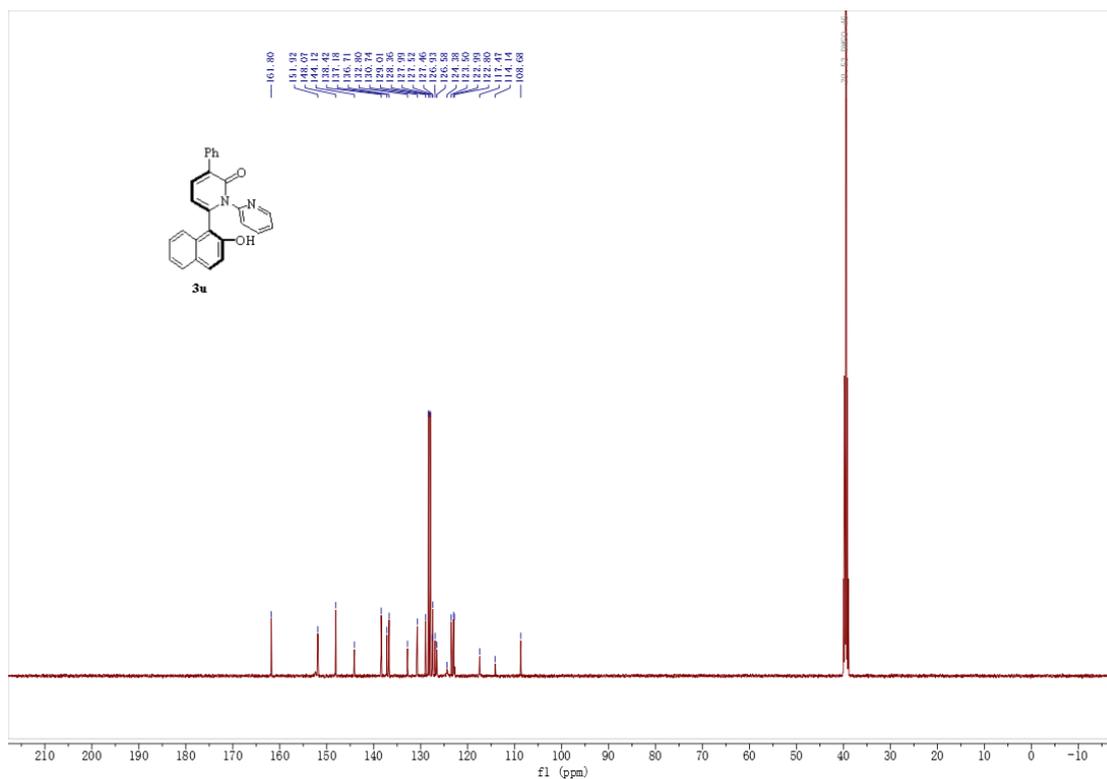
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3t**



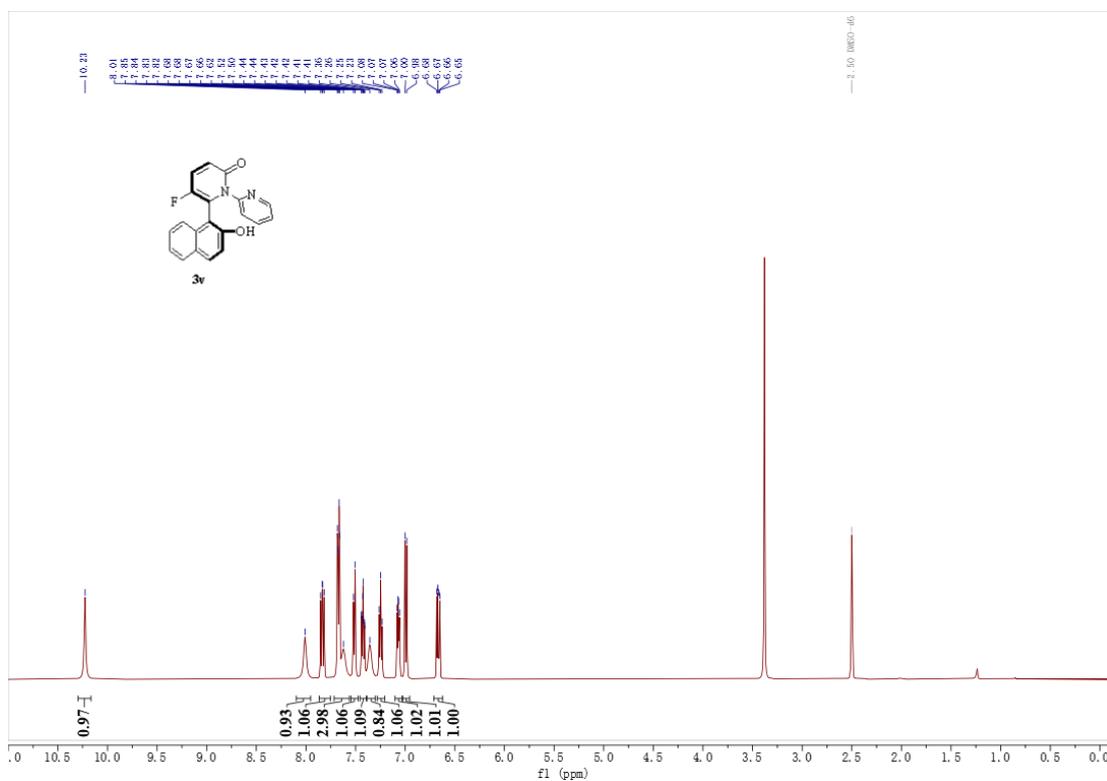
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3t**



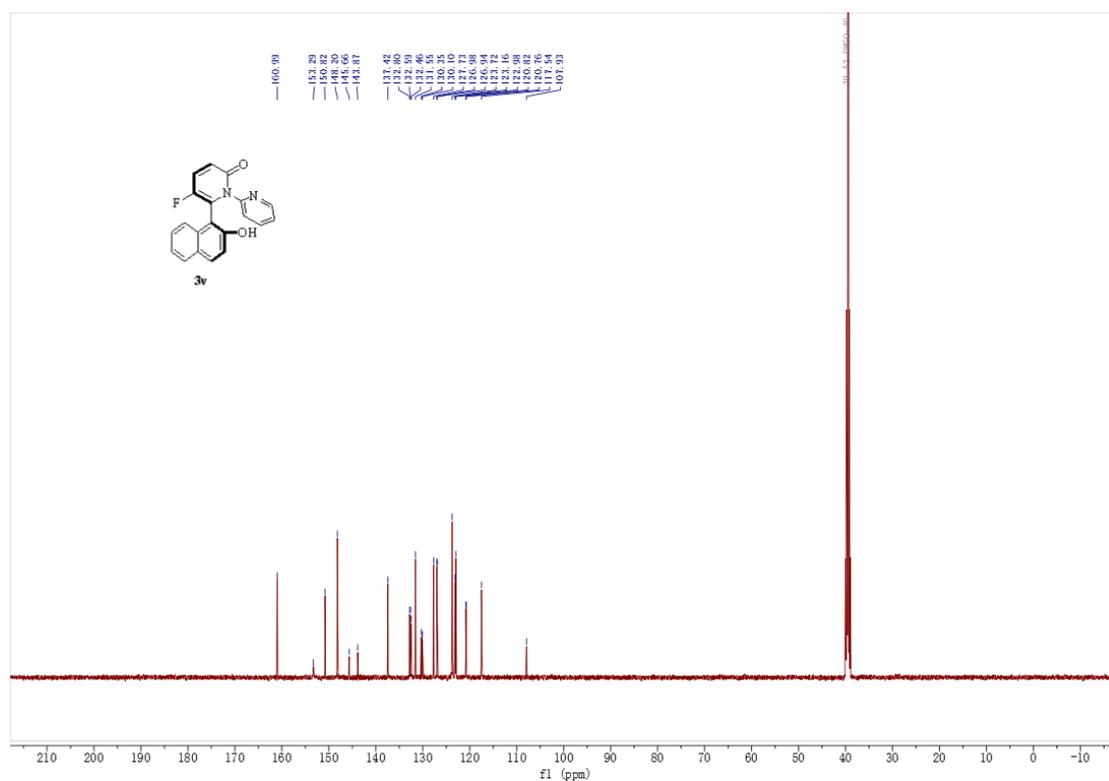
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3u**



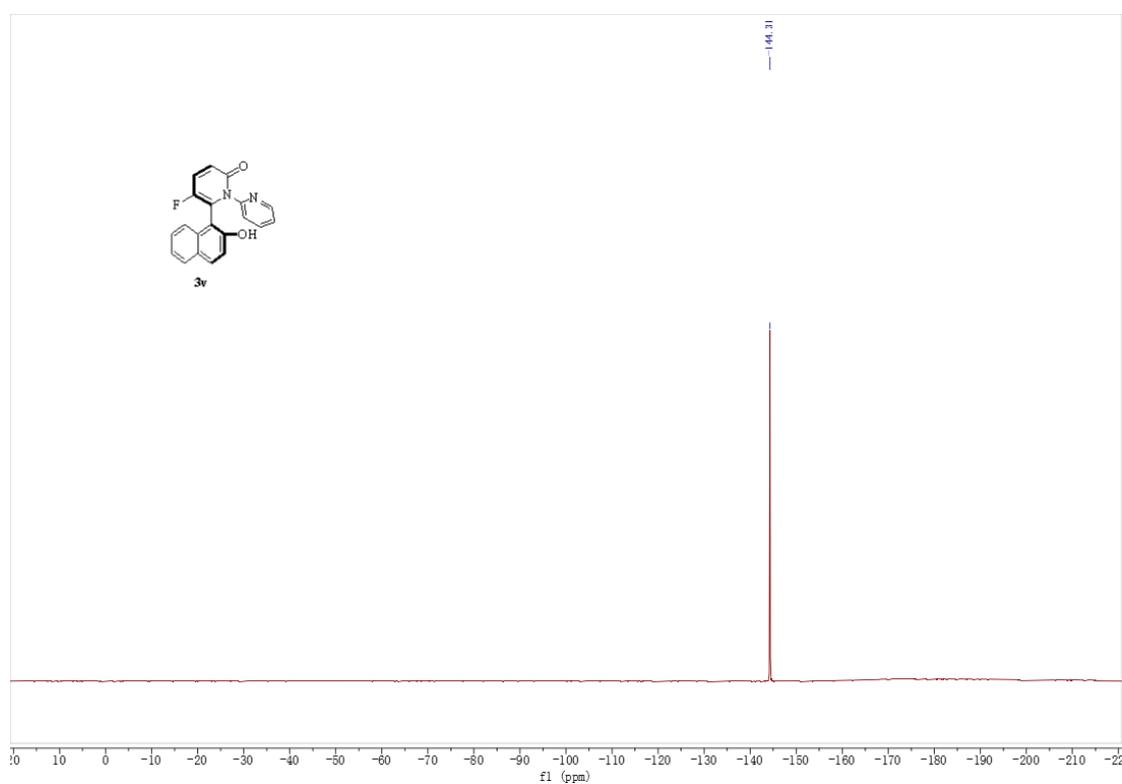
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3u**



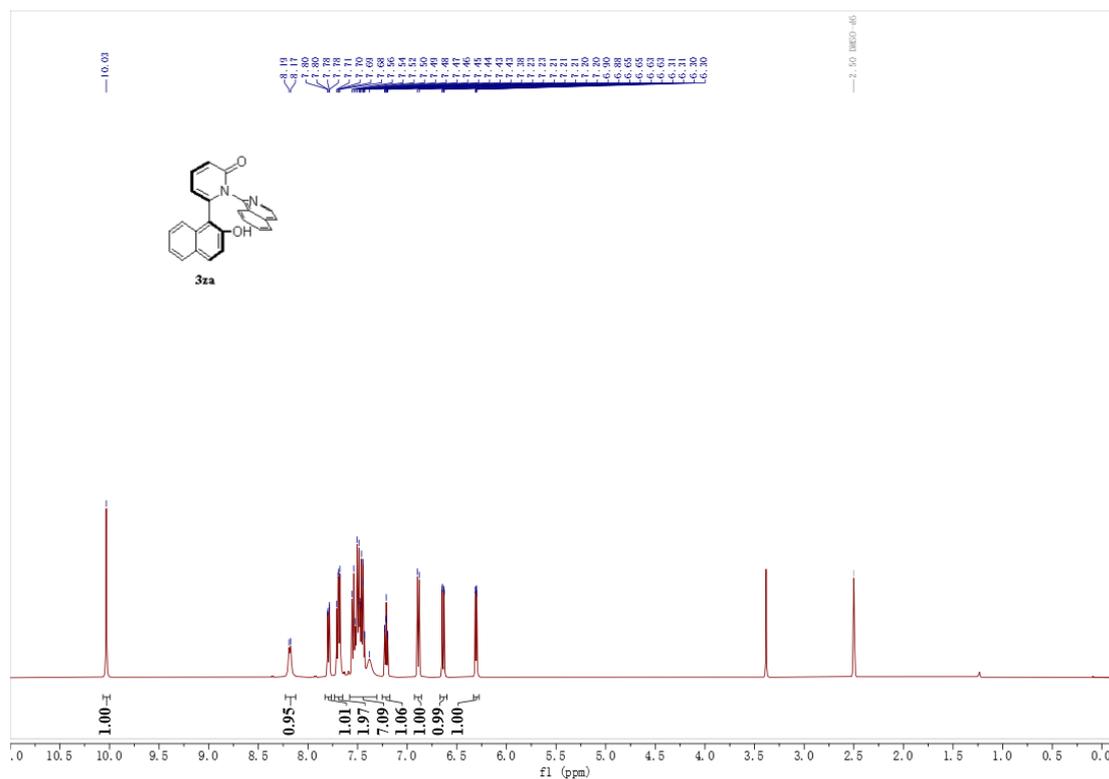
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **3v**



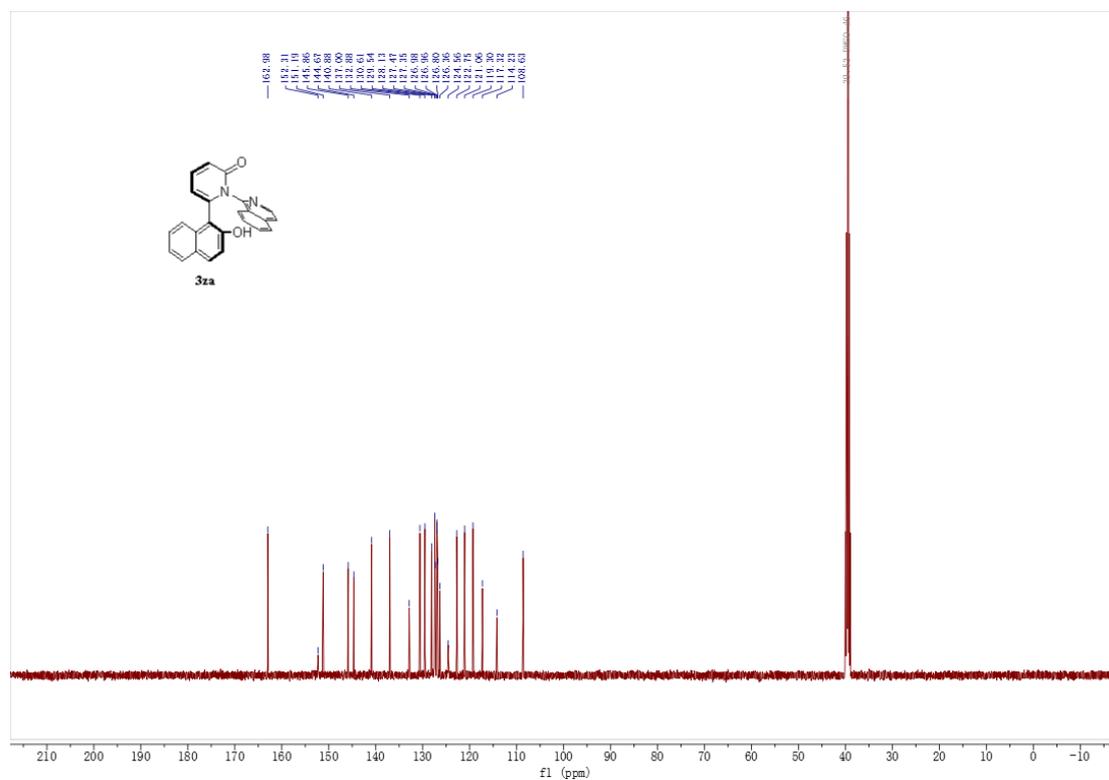
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **3v**



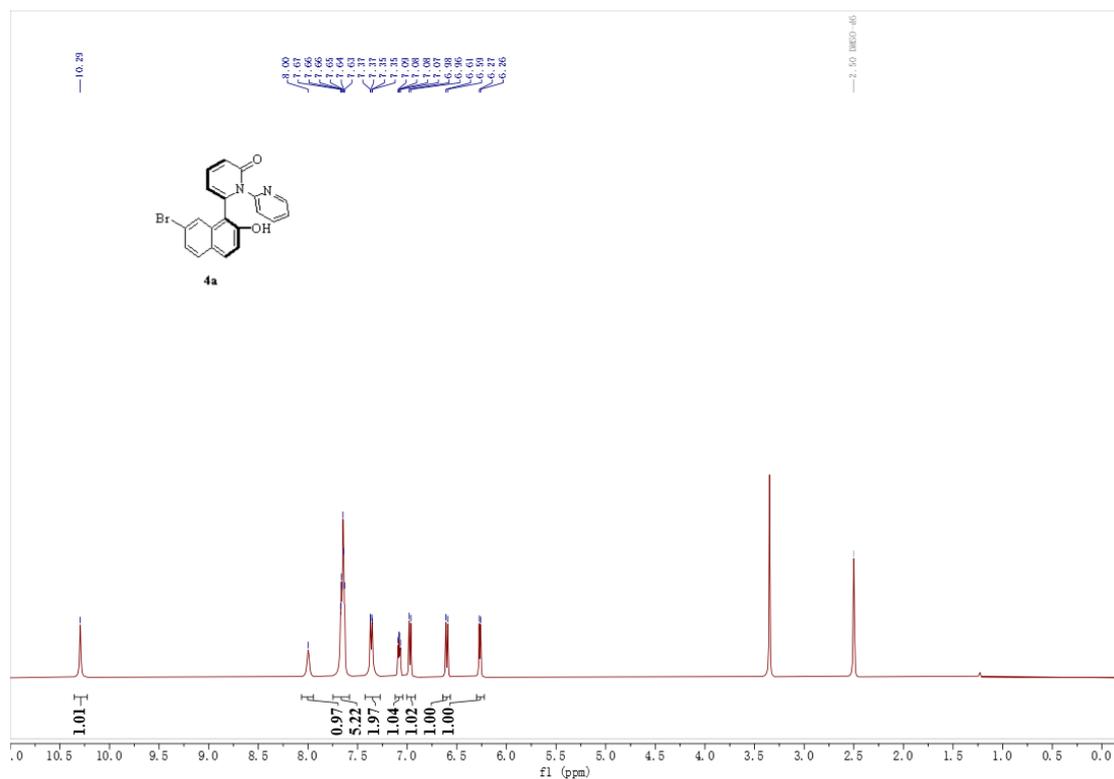
¹⁹F NMR (471 MHz, DMSO-*d*₆) spectrum of **3v**



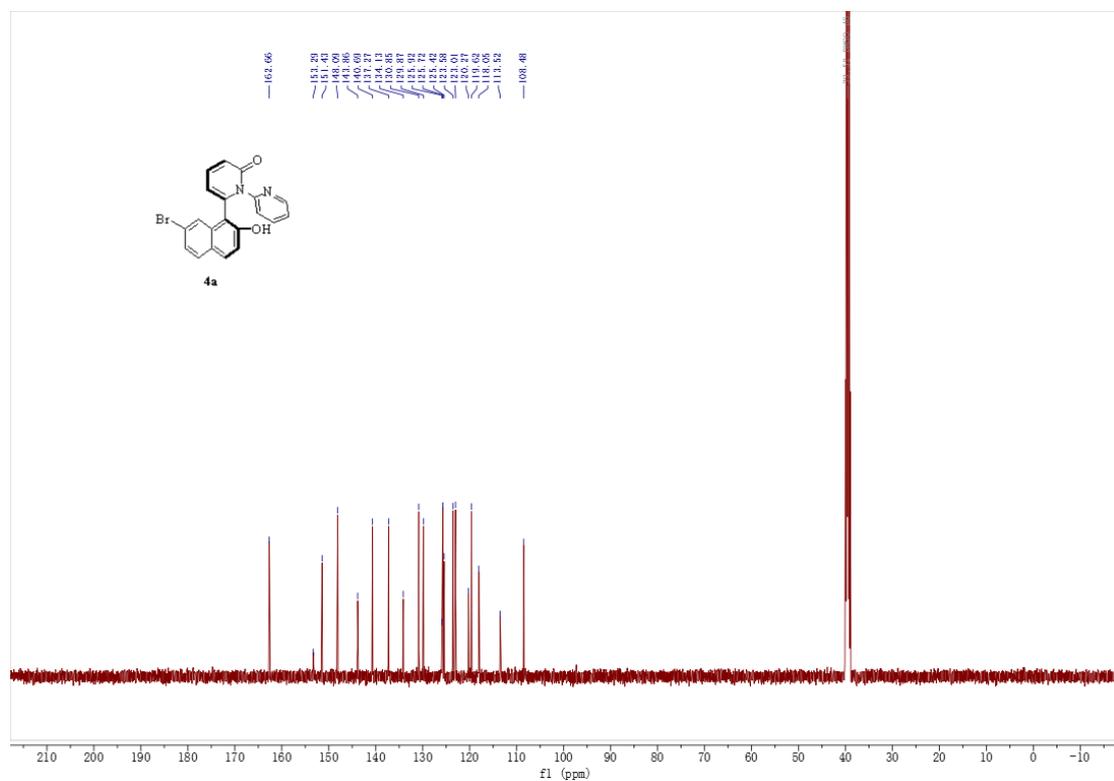
^1H NMR (500 MHz, $\text{DMSO-}d_6$) spectrum of **3za**



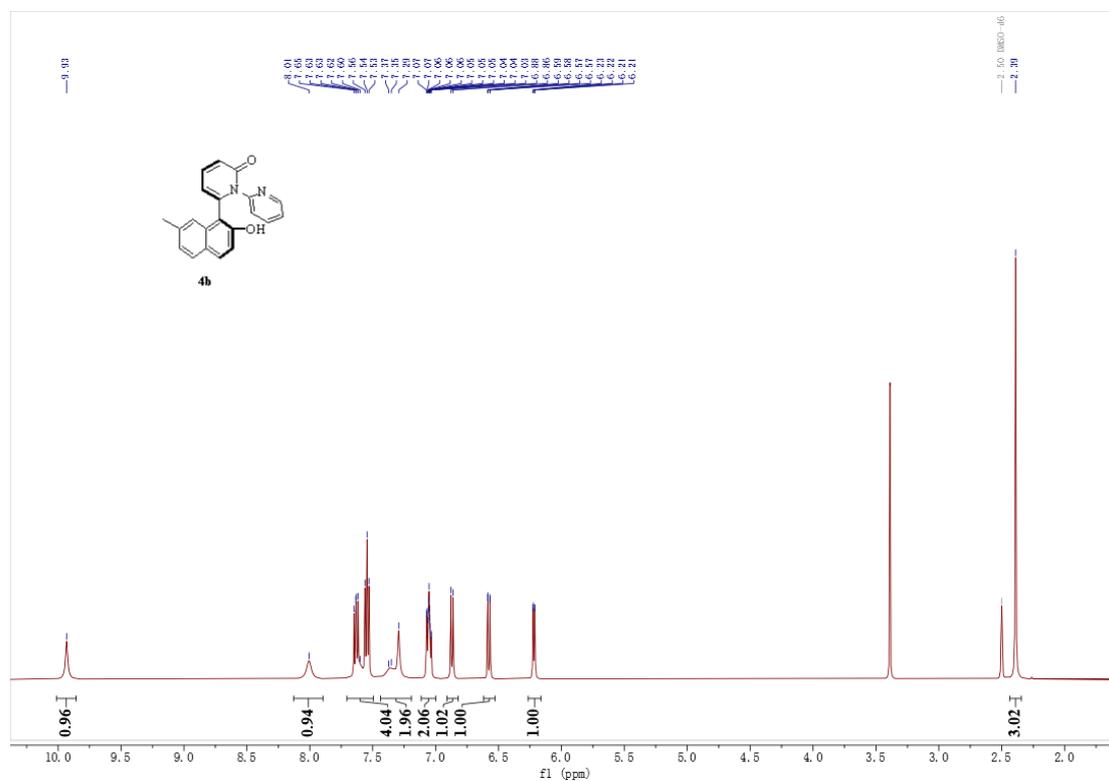
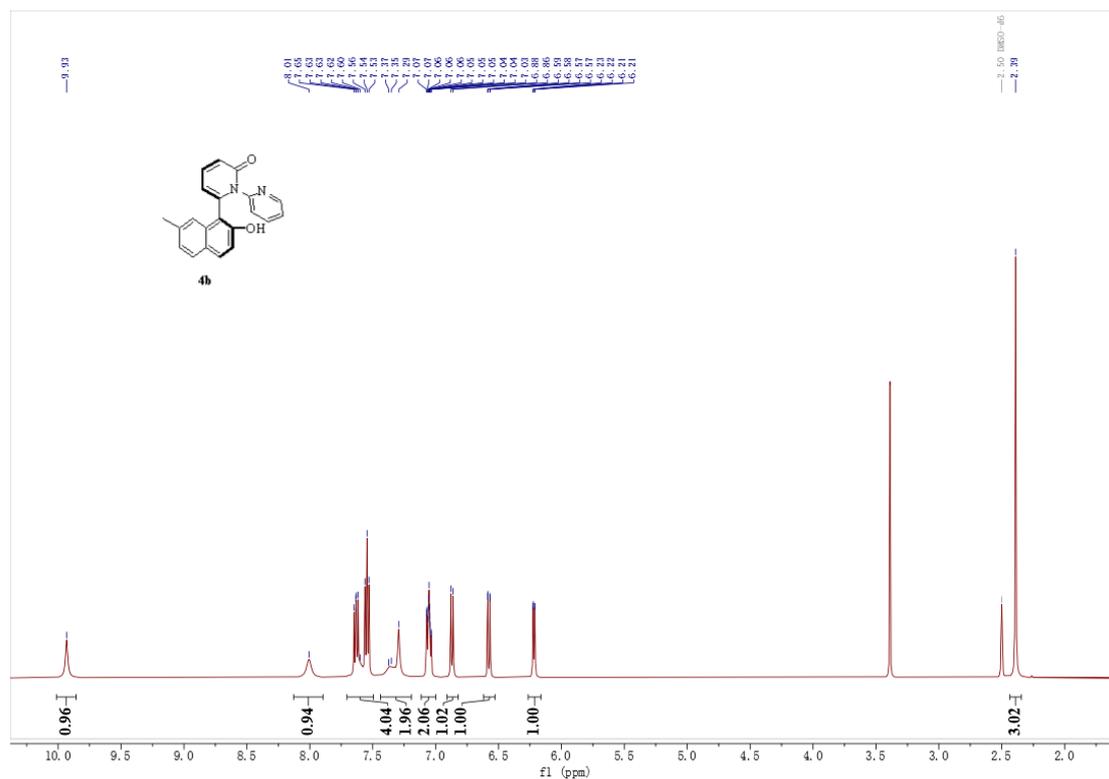
^{13}C NMR (126 MHz, $\text{DMSO-}d_6$) spectrum of **3za**

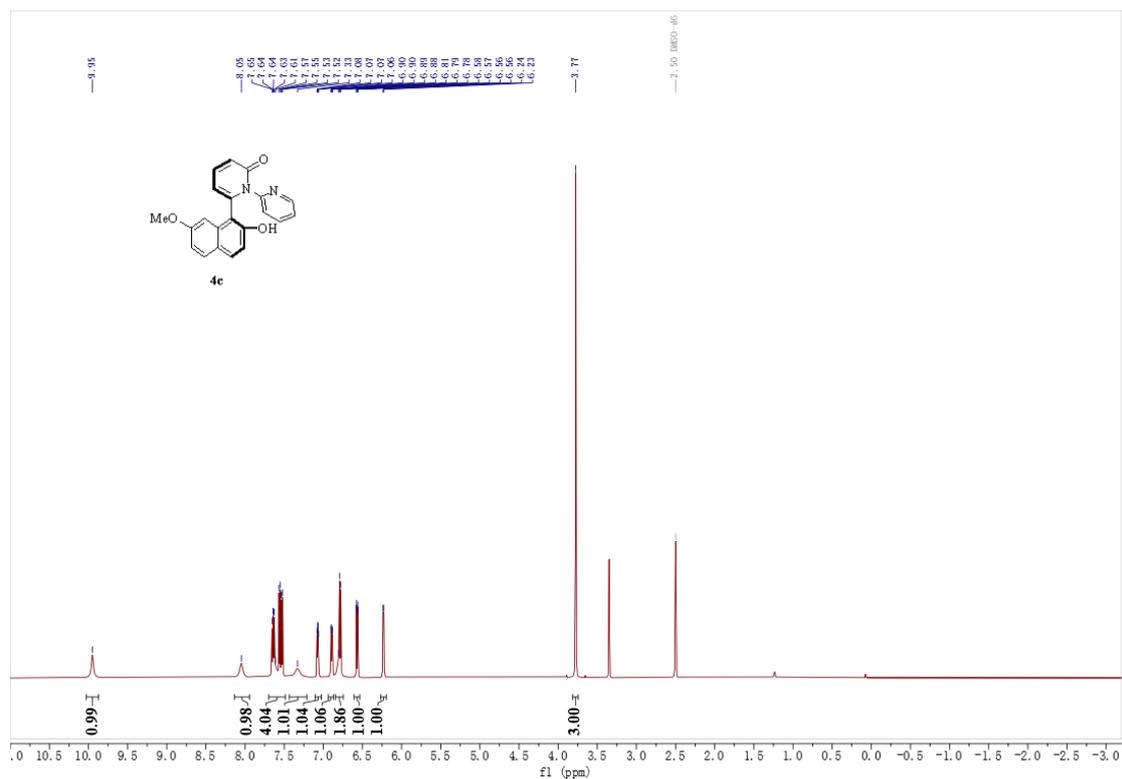


¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **4a**

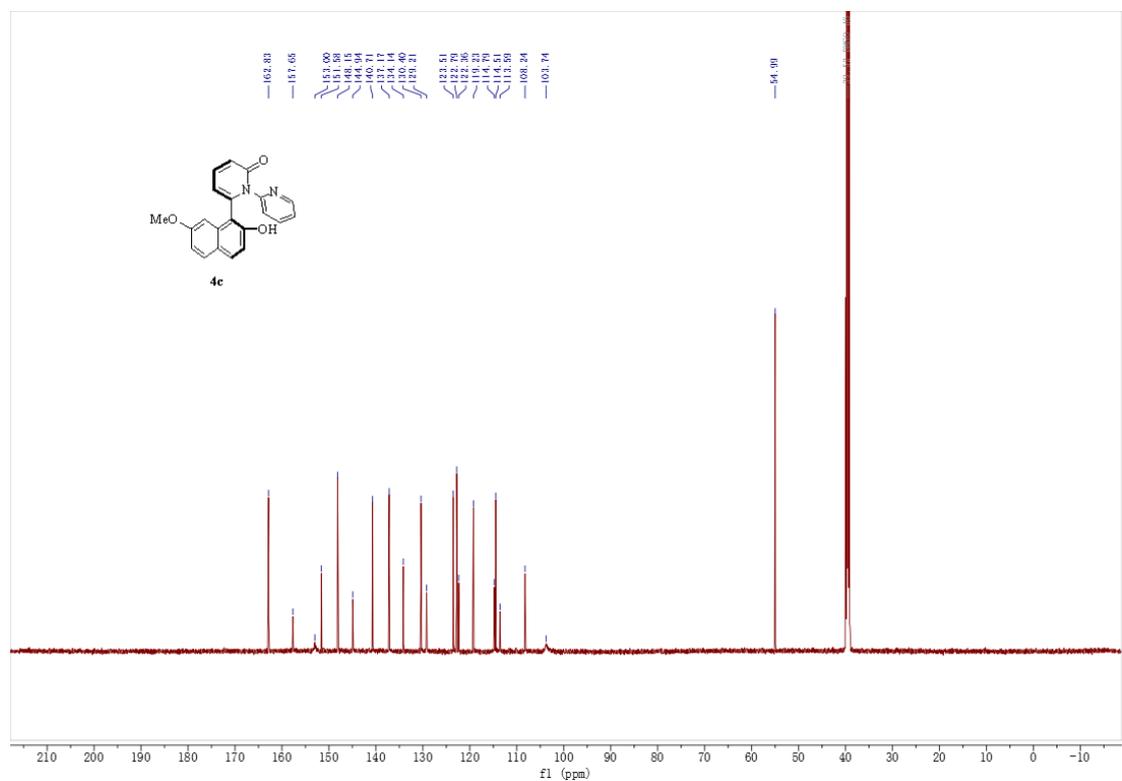


¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **4a**

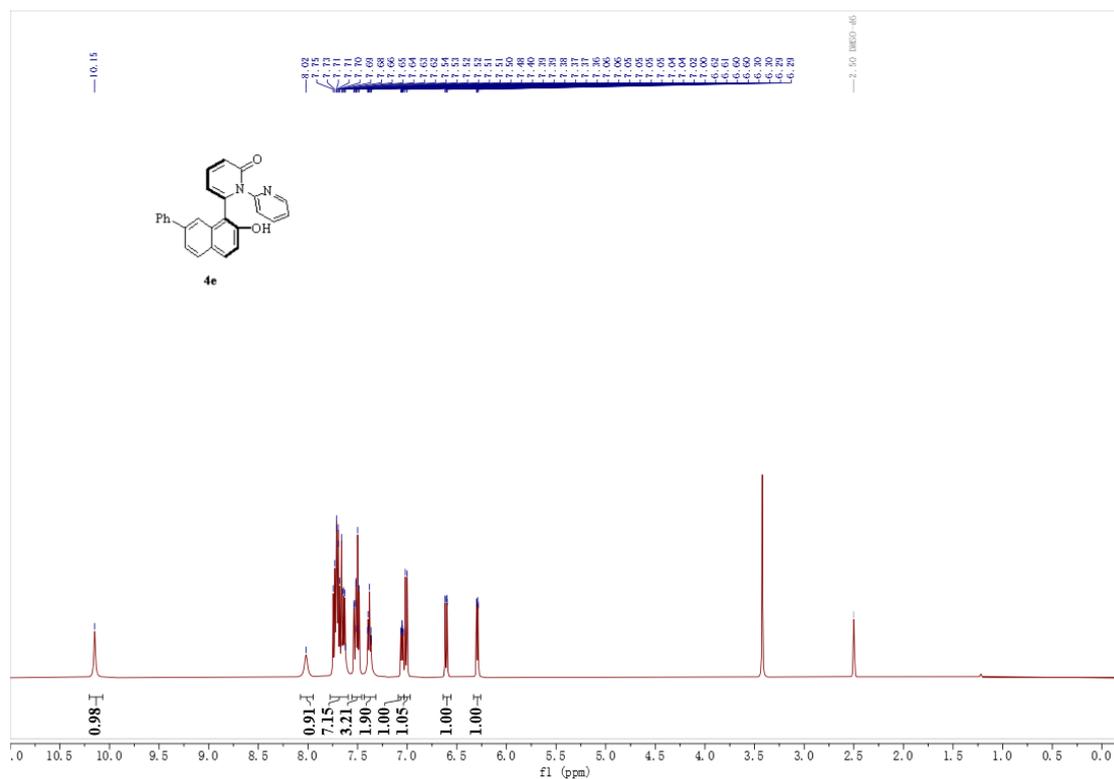




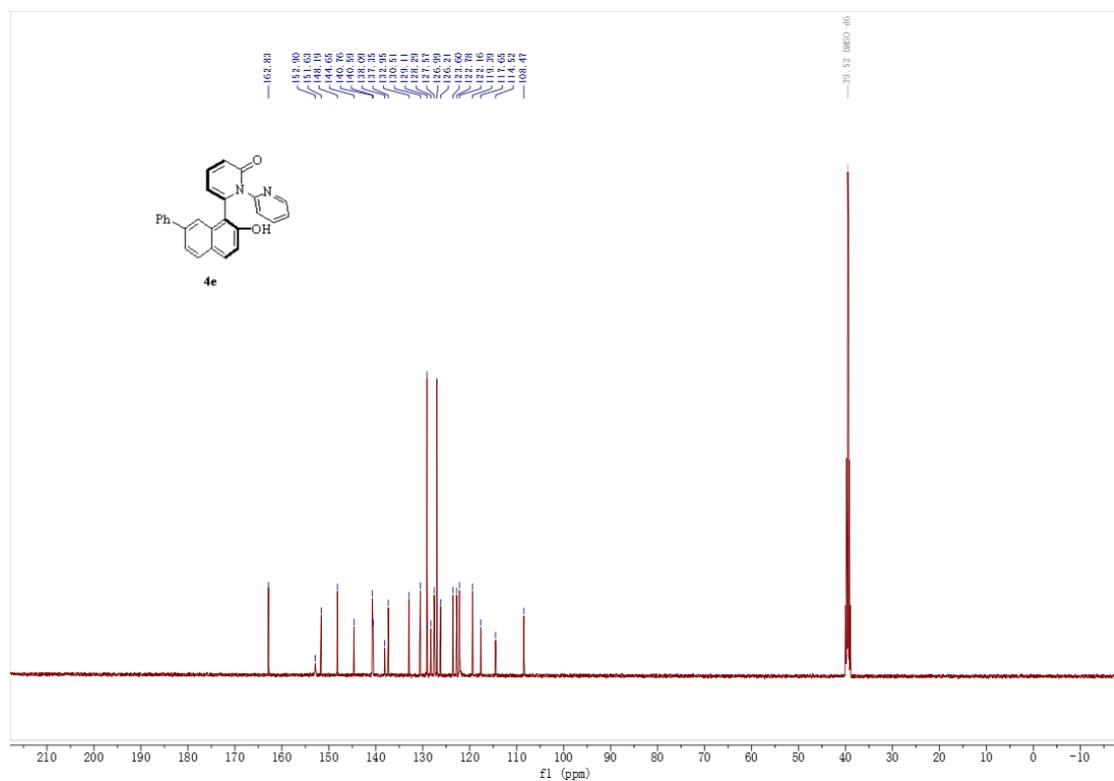
¹H NMR (600 MHz, DMSO-*d*₆) spectrum of **4c**



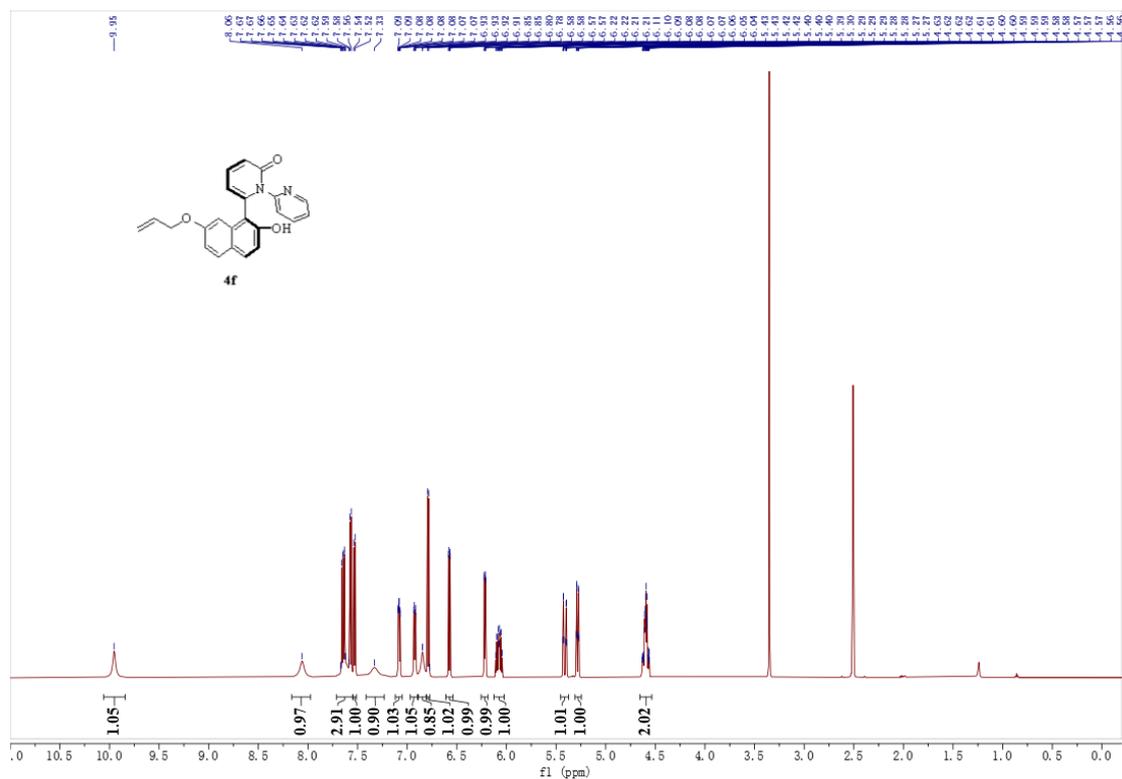
¹³C NMR (151 MHz, DMSO-*d*₆) spectrum of **4c**



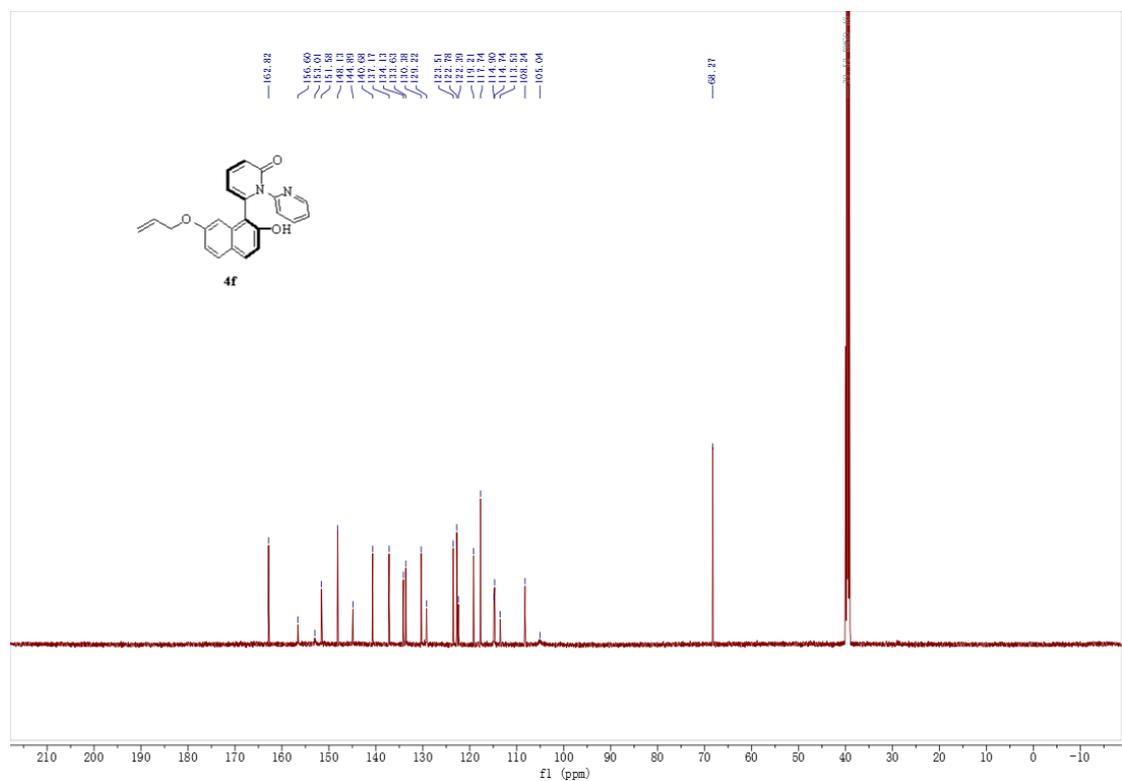
^1H NMR (500 MHz, $\text{DMSO-}d_6$) spectrum of **4e**



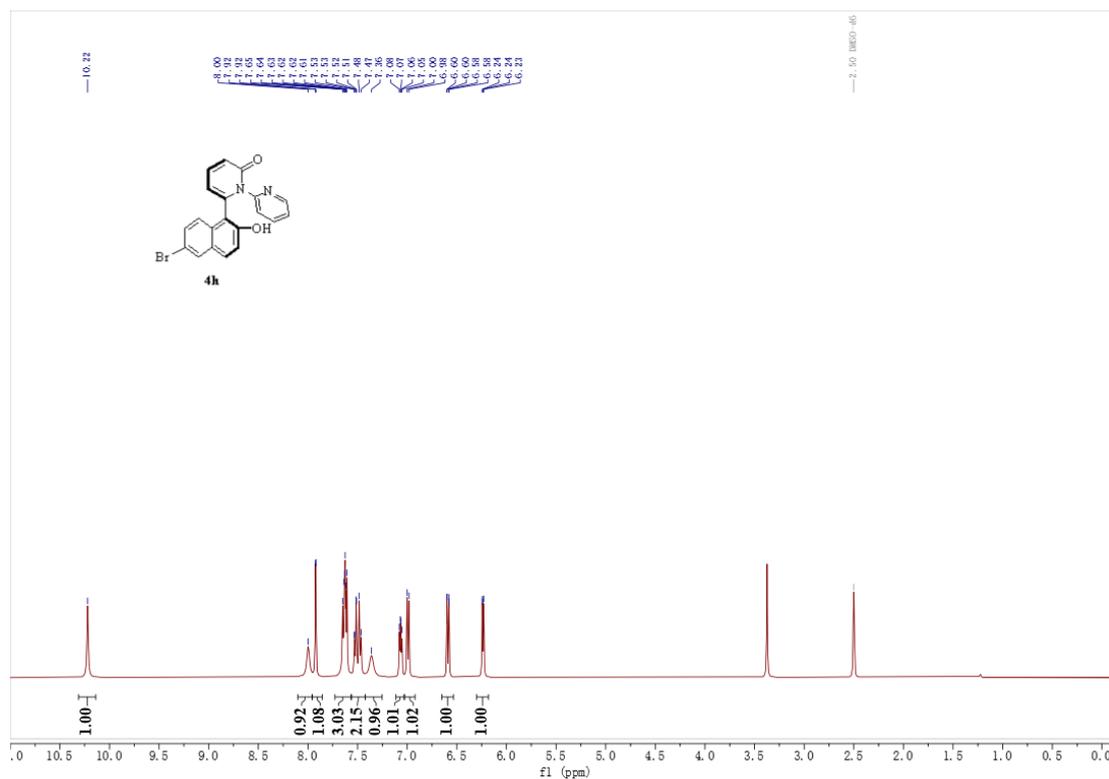
^{13}C NMR (126 MHz, $\text{DMSO-}d_6$) spectrum of **4e**



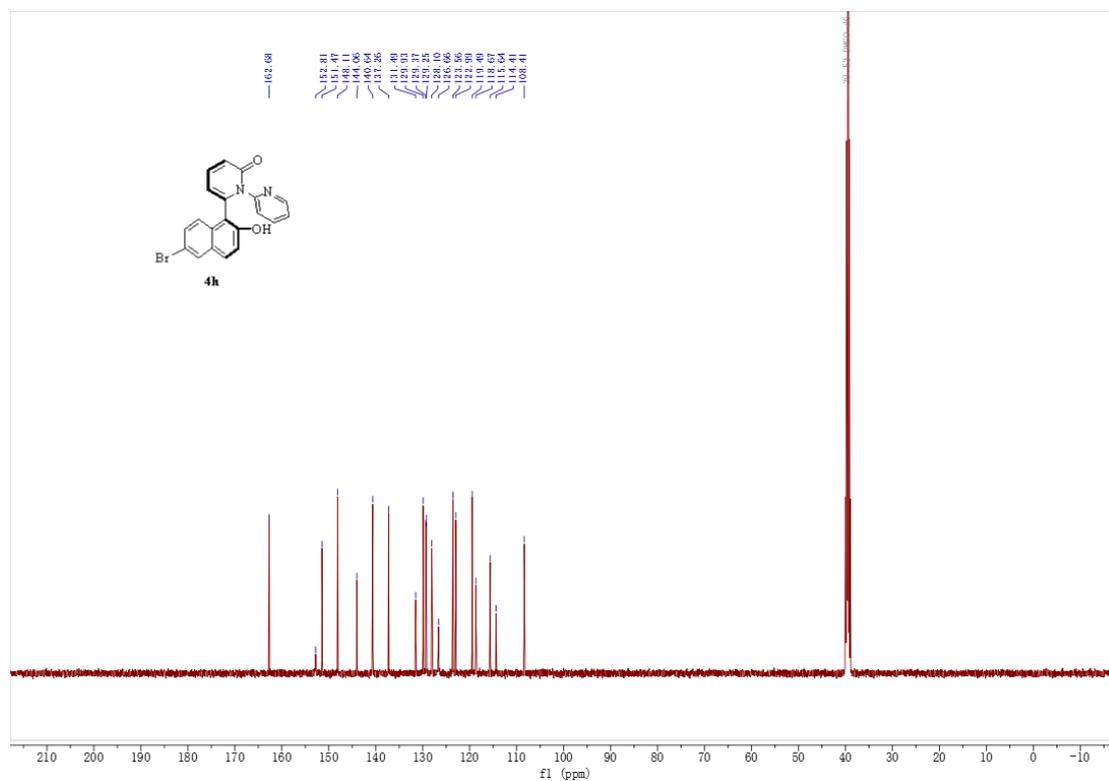
¹H NMR (600 MHz, DMSO-*d*₆) spectrum of **4f**



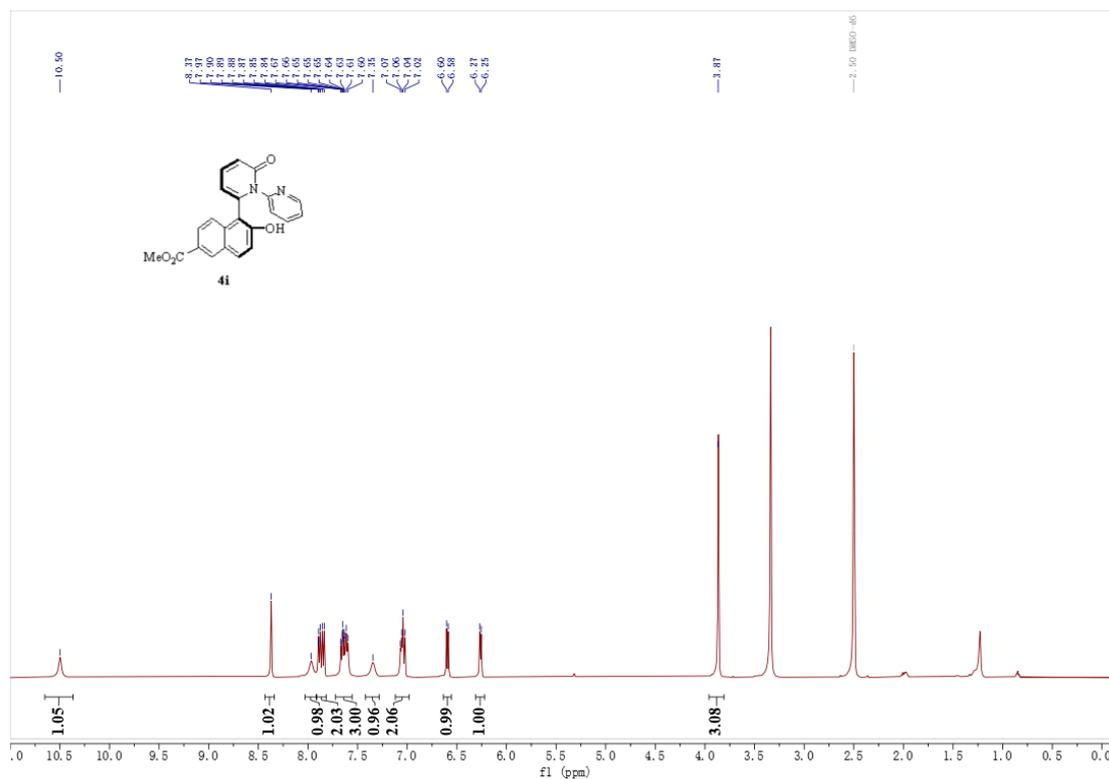
¹³C NMR (151 MHz, DMSO-*d*₆) spectrum of **4f**



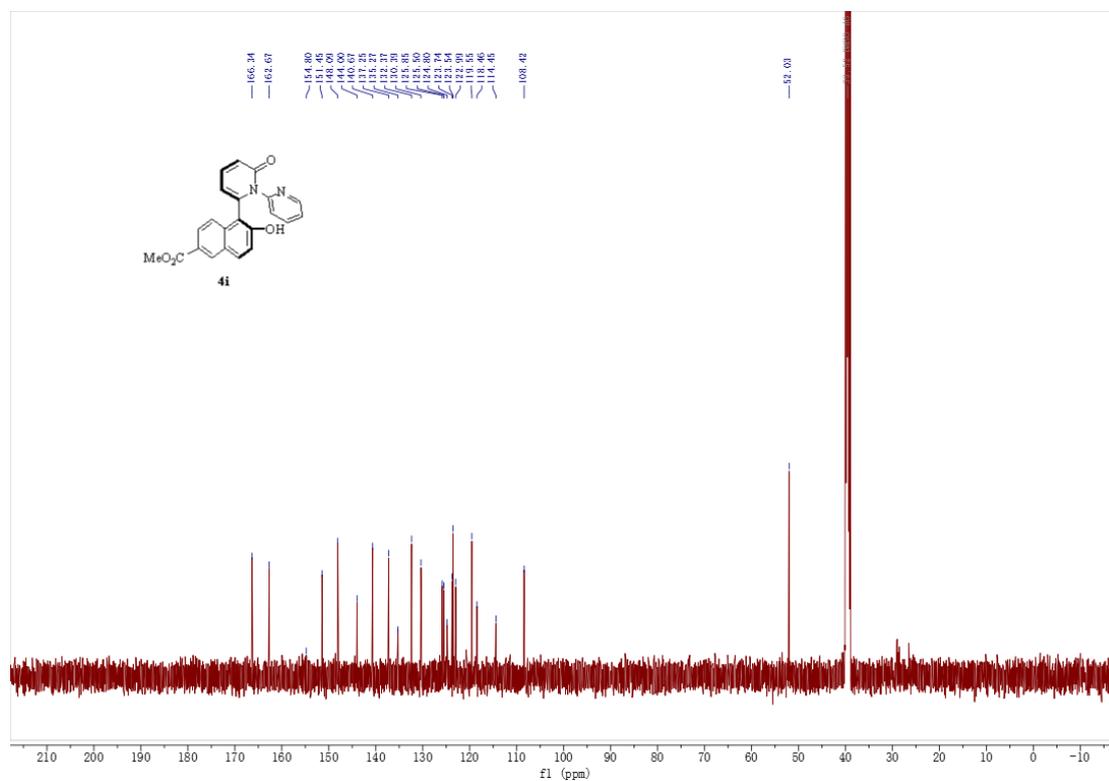
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **4h**



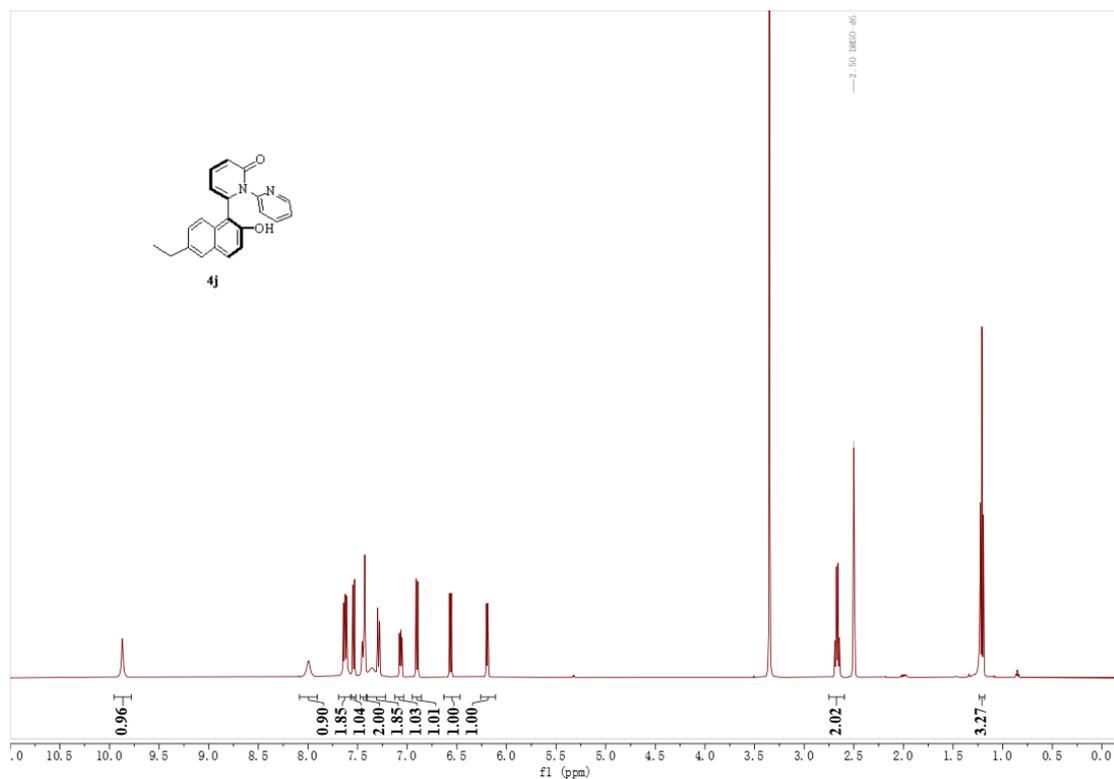
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **4h**



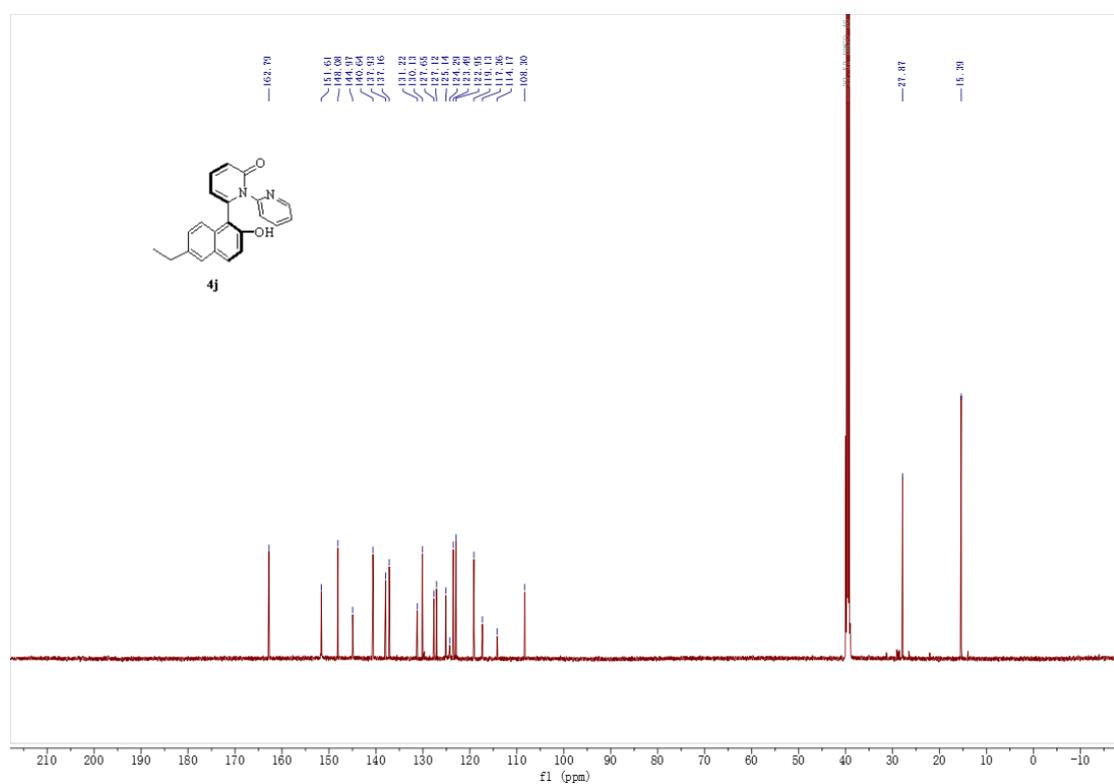
^1H NMR (500 MHz, $\text{DMSO-}d_6$) spectrum of **4i**



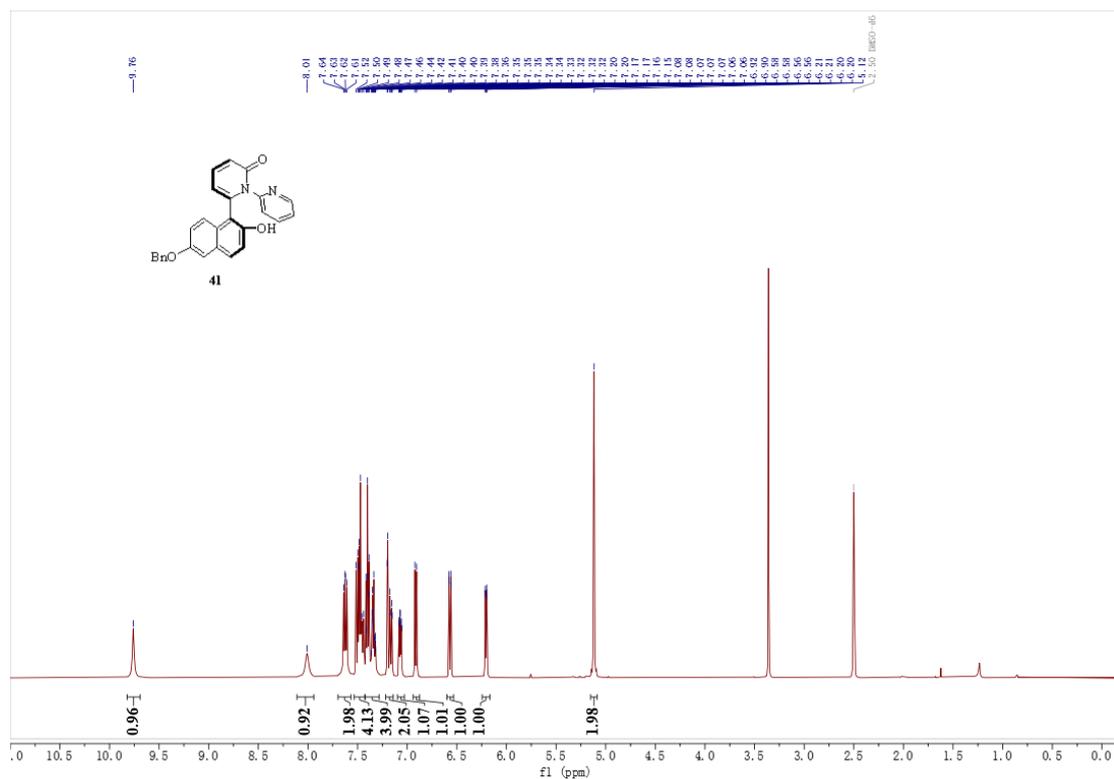
^{13}C NMR (126 MHz, $\text{DMSO-}d_6$) spectrum of **4i**



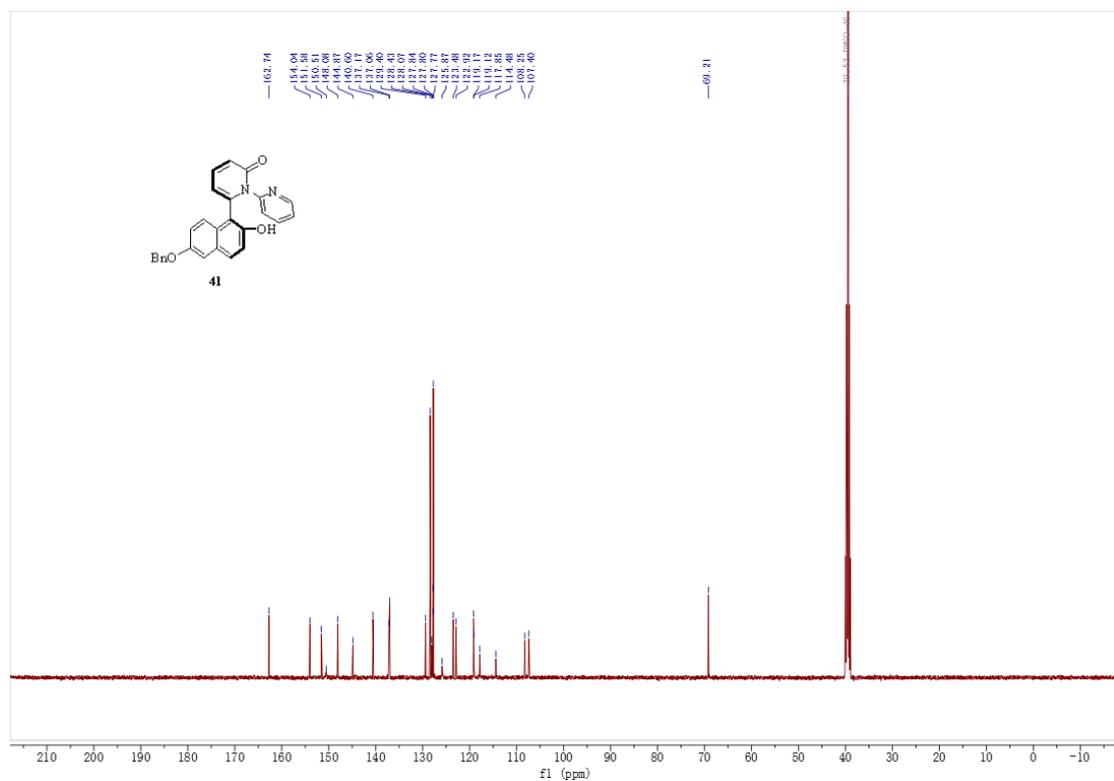
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **4j**



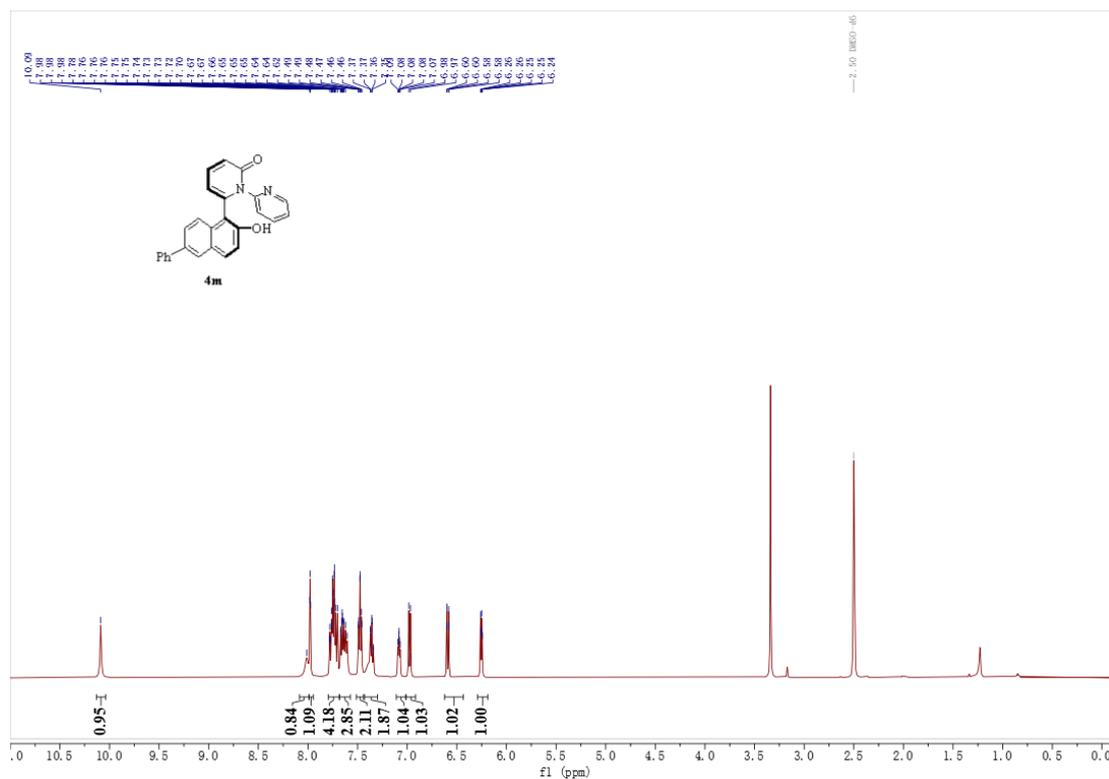
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **4j**



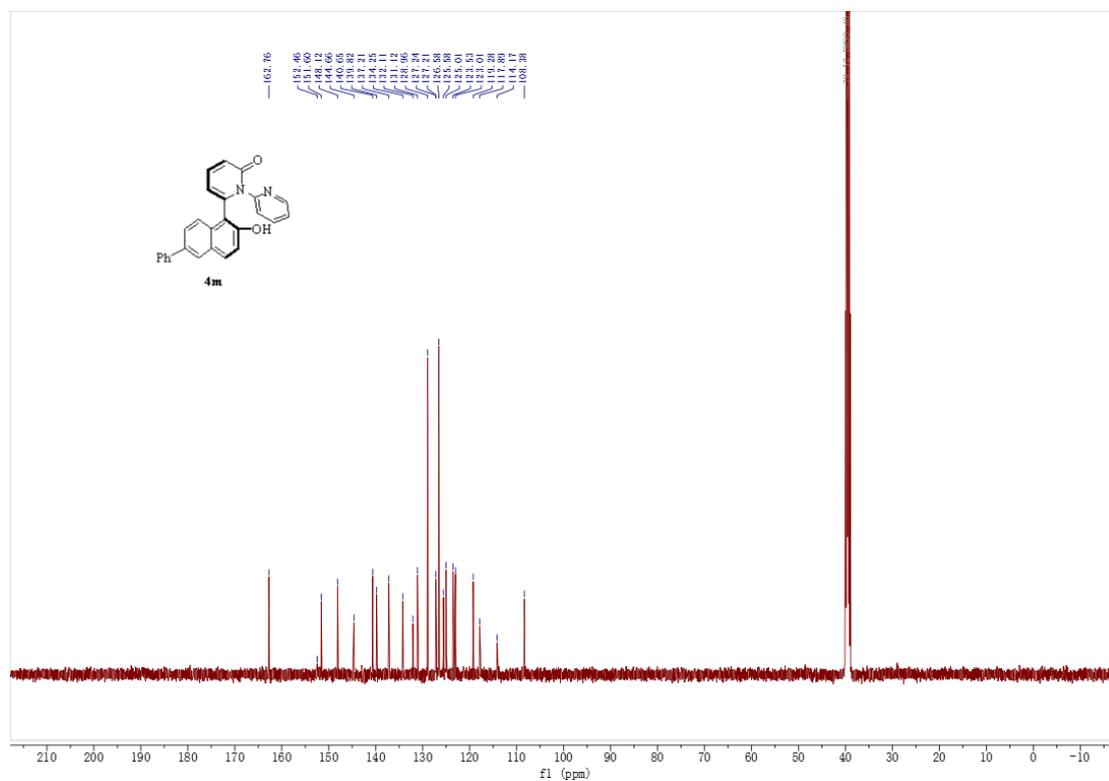
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **41**



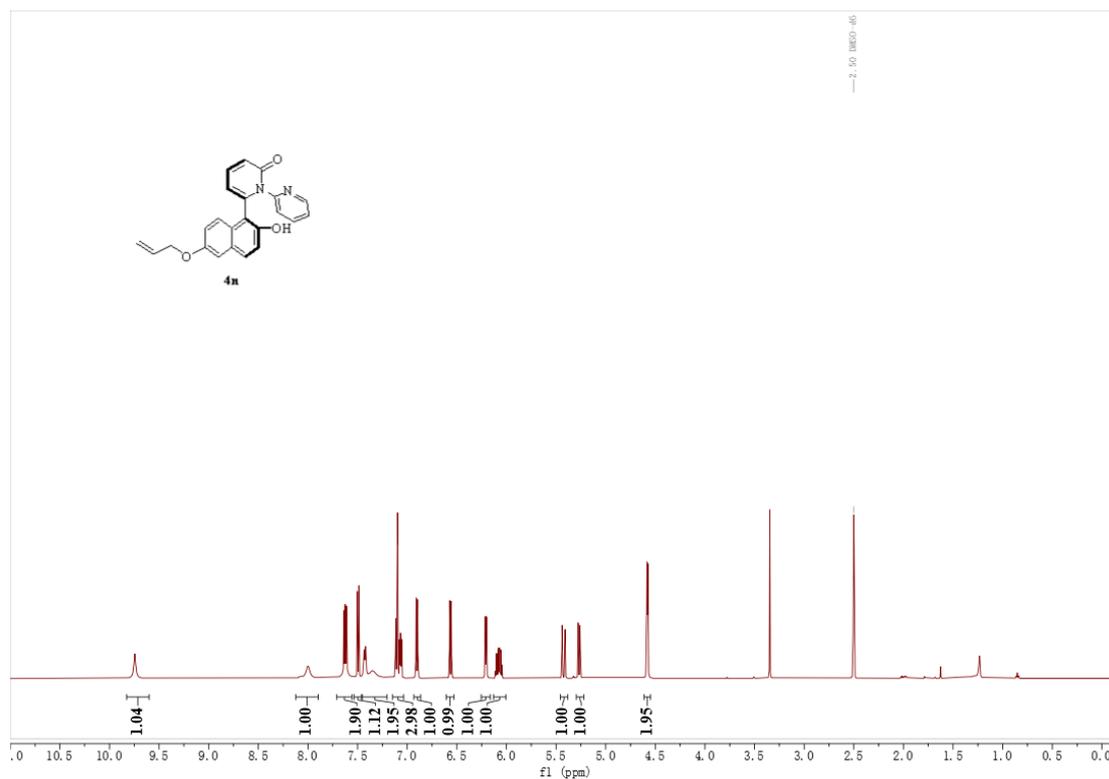
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **41**



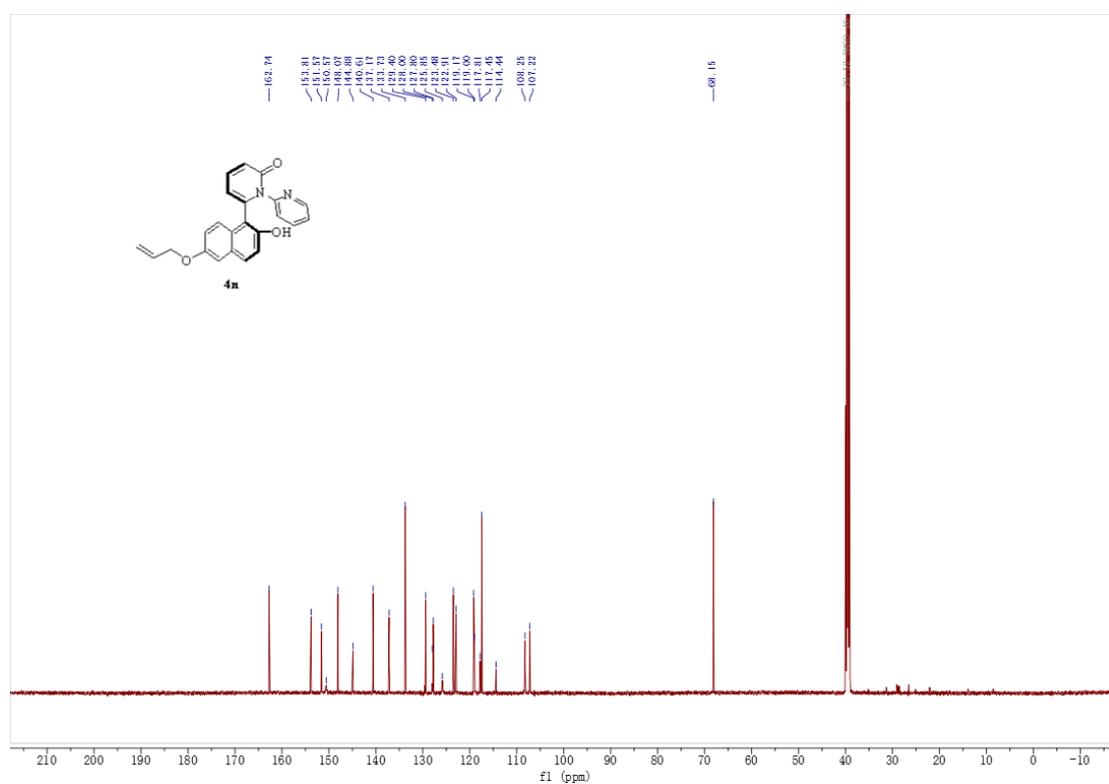
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **4m**



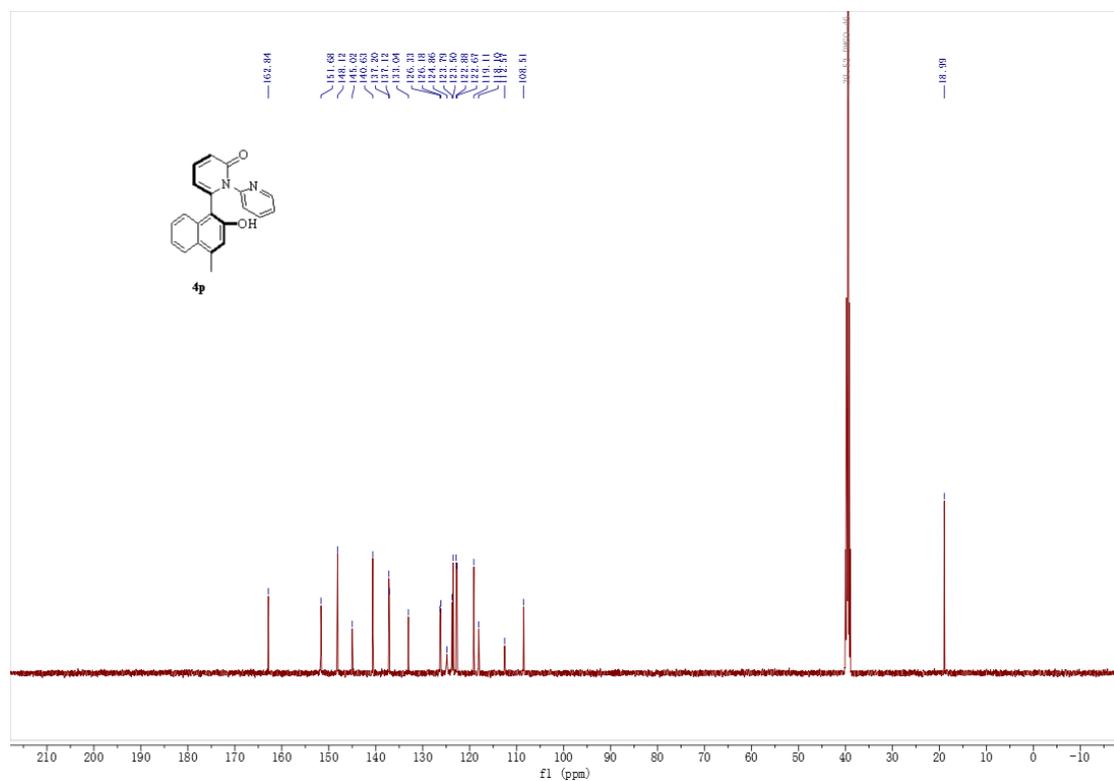
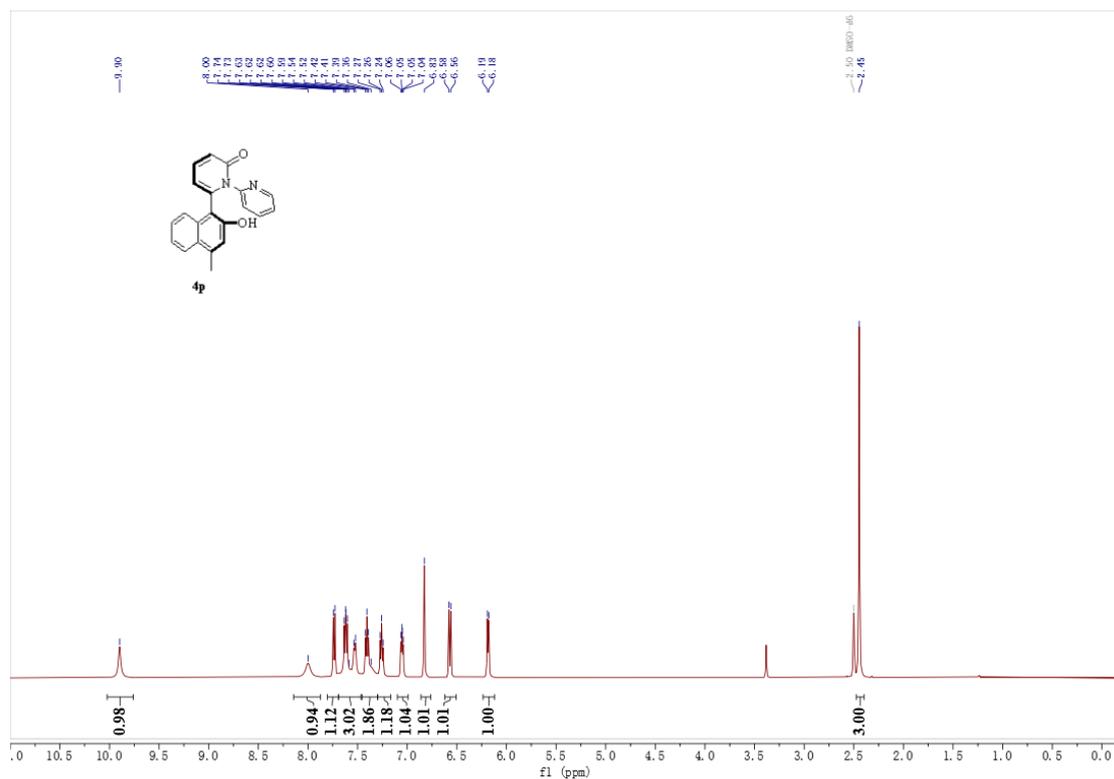
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **4m**

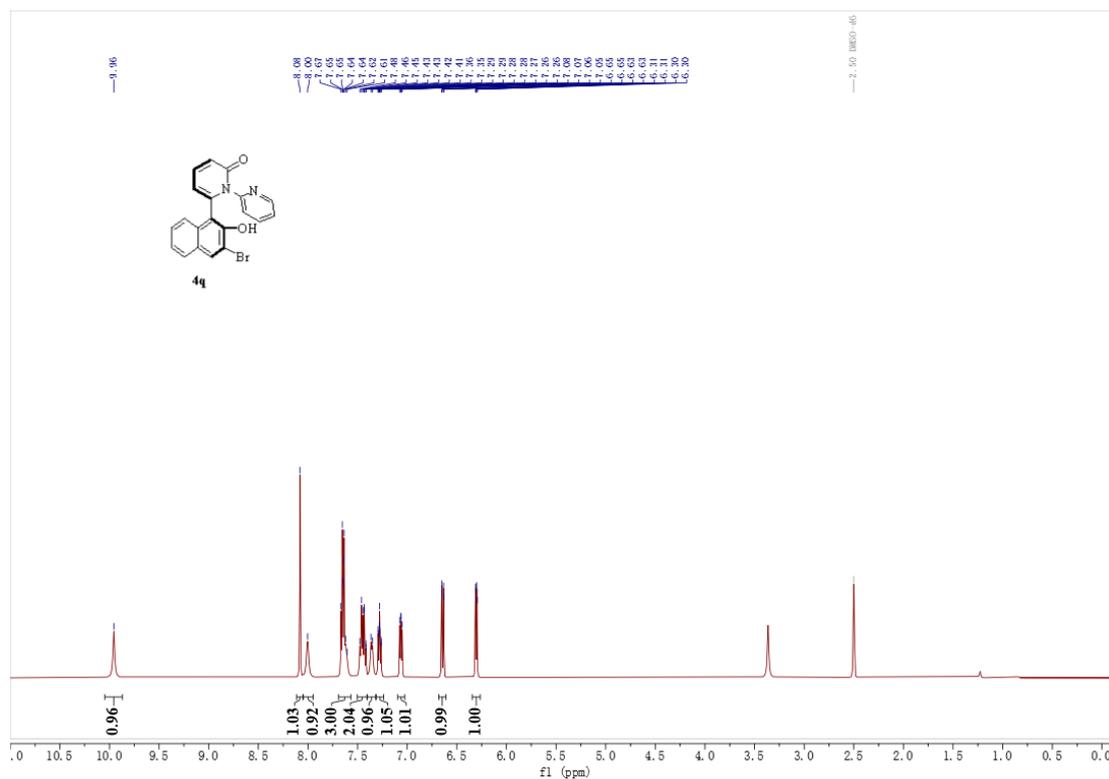


$^1\text{H NMR}$ (500 MHz, $\text{DMSO-}d_6$) spectrum of **4n**

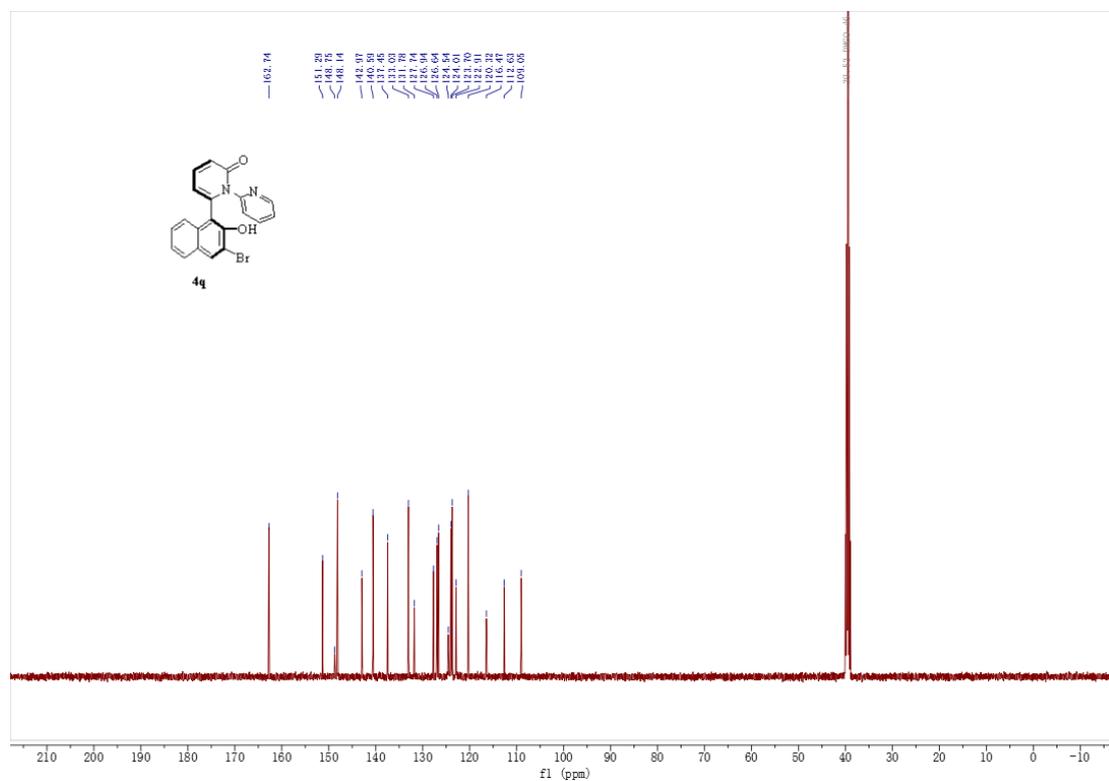


$^{13}\text{C NMR}$ (126 MHz, $\text{DMSO-}d_6$) spectrum of **4n**

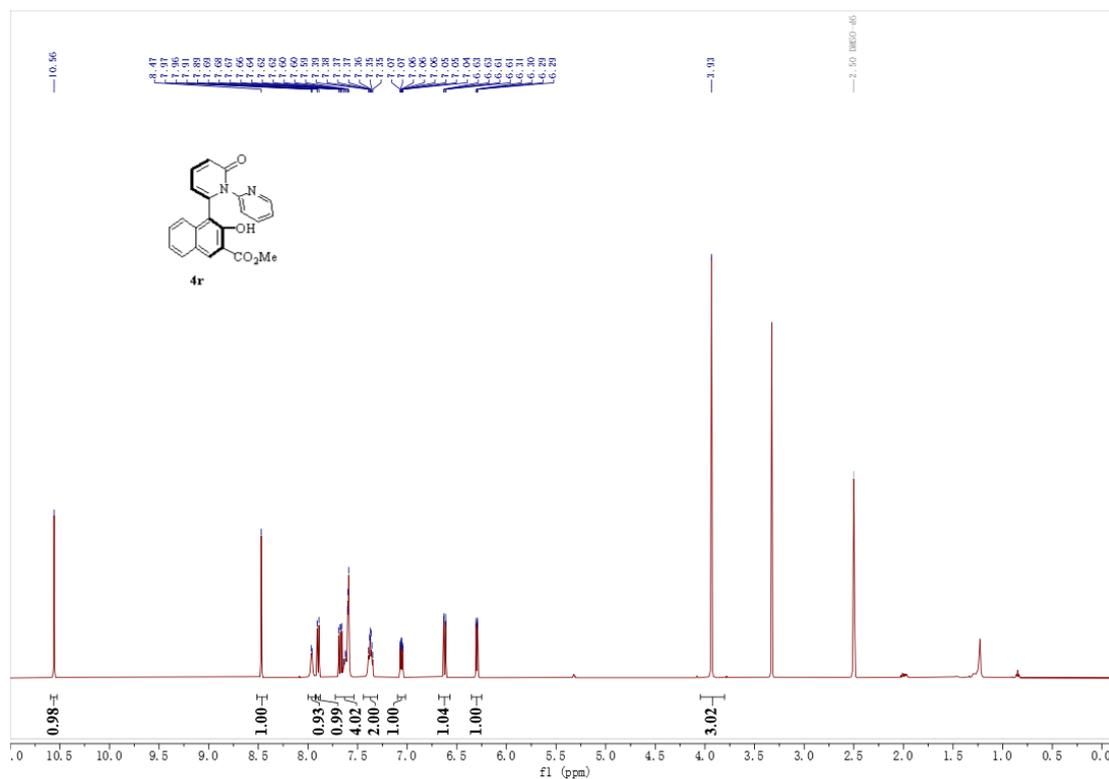




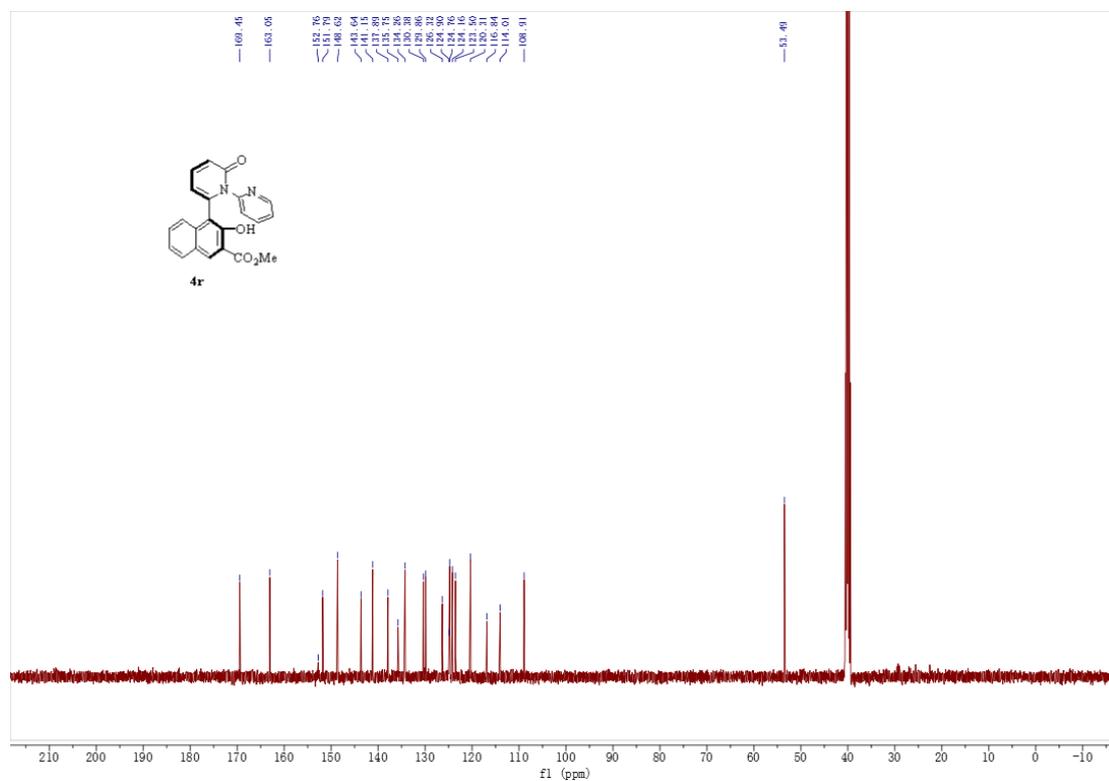
¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **4q**



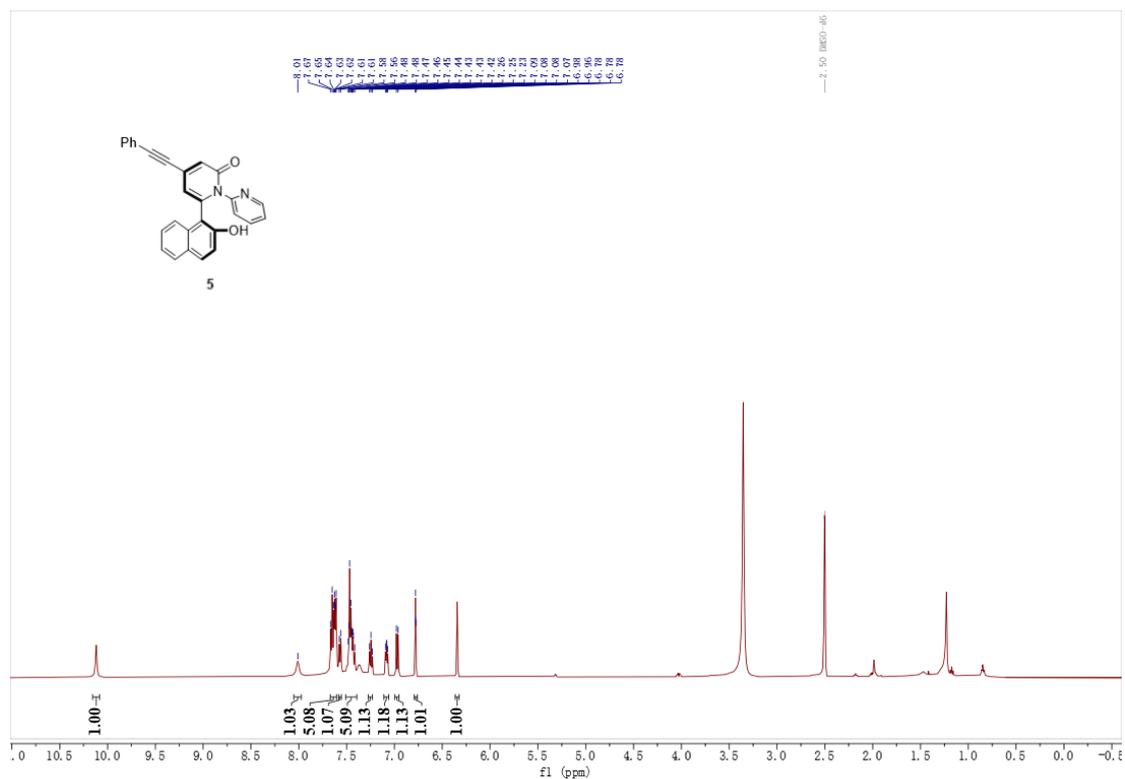
¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **4q**



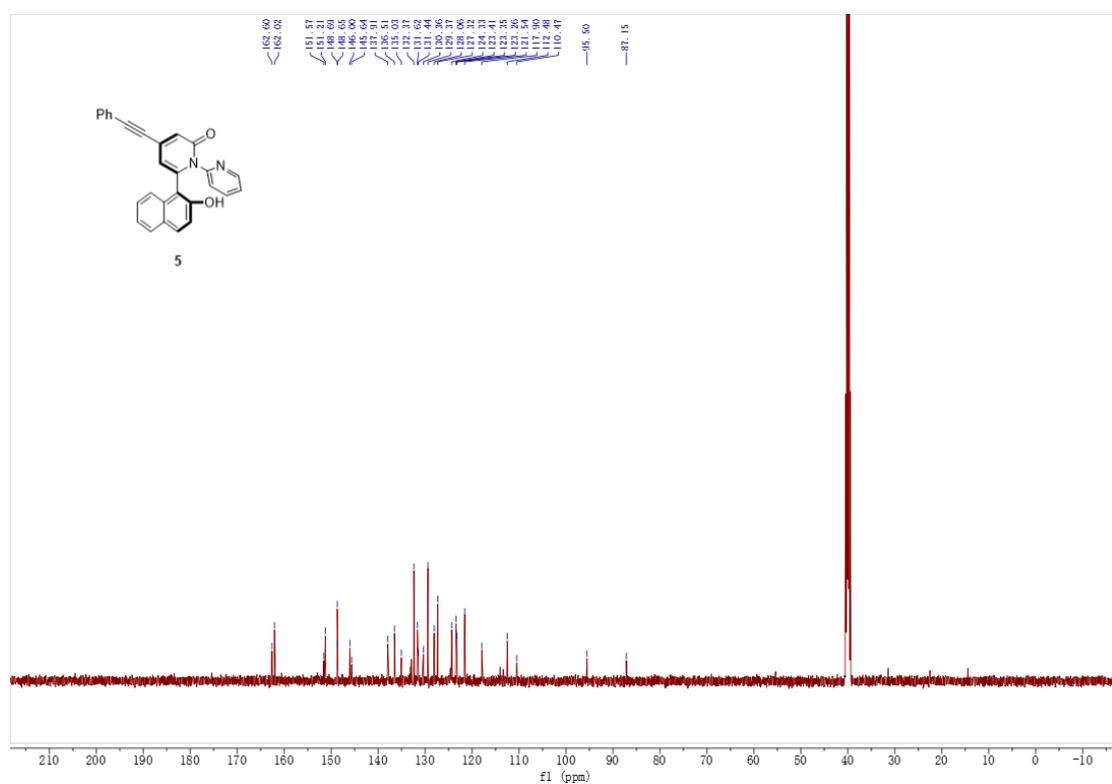
^1H NMR (500 MHz, $\text{DMSO-}d_6$) spectrum of **4r**



^{13}C NMR (126 MHz, $\text{DMSO-}d_6$) spectrum of **4r**



¹H NMR (500 MHz, DMSO-*d*₆) spectrum of **5**



¹³C NMR (126 MHz, DMSO-*d*₆) spectrum of **5**

