

Ring opening and skeletal reconstruction of 3-vinyl benzofuranone-chromone synthons: catalyst-free access to skeletally-diverse 2-pyridone and optically active imidazoline derivatives

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1. General information

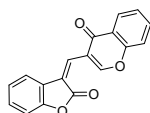
Reactions were monitored by thin layer chromatography using UV light to visualize the course

of reaction. Purification of reaction products was carried out by flash chromatography on silica gel or just by simple filtration and washing. ^1H and ^{13}C NMR spectra were obtained using a Bruker DPX-400 spectrometer. ^1H NMR chemical shifts are reported in ppm (δ) relative to tetramethylsilane (TMS) with the solvent resonance employed as the internal standard. Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet), coupling constants (Hz) and integration. ^{13}C NMR chemical shifts are reported in ppm (δ) from tetramethylsilane (TMS) with the solvent resonance as the internal standard. Melting points were measured on an electrothermal digital melting point apparatus.

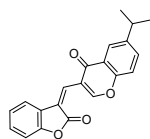
2. Synthesis of 3-vinyl benzofuranone-chromones 1

Chromone-3-carboxaldehyde (5.1 mmol) and piperidine (0.5 mmol) were added to a suspension of benzofuranone (5.0 mmol) in ethanol (20.0 mL). The solution was heated at 80 °C for 1.5 h. The reaction was allowed to cool to room temperature. The precipitate was filtered, washed with ethanol and dried to afford the product **1** as a light red solid.

3. Characterization data of 3-vinyl benzofuranone-chromones 1

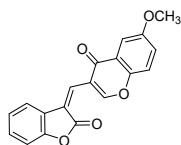


(Z)-3-((2-oxobenzofuran-3(2H)-ylidene)methyl)-4H-chromen-4-one (1a): Light red solid, m.p. 270.3-270.5 °C; 1.31 g, yield 90%; ^1H NMR (CDCl_3 , 400 MHz) δ : 7.04 (d, $J = 8.0$ Hz, 1H), 7.11-7.15 (m, 1H), 7.26-7.30 (m, 1H), 7.39-7.43 (m, 1H), 7.47 (d, $J = 8.0$ Hz, 1H), 7.56-7.58 (m, 1H), 7.65-7.69 (m, 1H), 8.03 (s, 1H), 8.22-8.25 (m, 1H), 9.91 (s, 1H); ^{13}C NMR (CDCl_3 , 100 MHz) δ : 108.6, 116.0, 116.3, 118.0, 119.1, 121.5, 121.9, 122.8, 123.8, 124.3, 127.1, 128.0, 132.2, 150.7, 153.8, 158.5, 164.9, 173.4; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{18}\text{H}_{10}\text{NaO}_4$ $[\text{M}+\text{Na}]^+$: 313.0471; Found: 313.0475.



(Z)-6-isopropyl-3-((2-oxobenzofuran-3(2H)-ylidene)methyl)-4H-chromen-4-one (1b): Light red solid, m.p. 255.7- 256.7 °C; 1.44 g, yield 87%; ^1H NMR (CDCl_3 , 400 MHz) δ : 1.25 (d, $J = 6.8$

Hz, 6H), 2.98-3.01 (m, 1H), 7.03 (d, $J = 8.0$ Hz, 1H), 7.11-7.15 (m, 1H), 7.25-7.29 (m, 1H), 7.40 (d, $J = 8.8$ Hz, 1H), 7.52-7.59 (m, 2H), 8.04 (s, 1H), 8.05 (d, $J = 2.5$ Hz, 1H), 9.89 (s, 1H); ^{13}C NMR (CDCl_3 , 100 MHz) δ : 22.9, 32.8, 109.8, 117.0, 117.4, 119.2, 120.0, 122.2, 122.4, 123.1, 128.6, 129.0, 132.3, 146.1, 151.8, 153.4, 159.6, 166.1, 174.8, one carbon missing in the aromatic region; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{21}\text{H}_{16}\text{NaO}_4$ $[\text{M}+\text{Na}]^+$: 355.0941; Found: 355.0937.



(Z)-6-methoxy-3-((2-oxobenzofuran-3(2H)-ylidene)methyl)-4H-chromen-4-one (1c): Light red solid, m.p. 263.7-264.2 °C; 1.33 g, yield 83%; ^1H NMR (CDCl_3 , 400 MHz) δ : 3.86 (s, 3H), 7.03 (d, $J = 8.4$ Hz, 1H), 7.11-7.15 (m, 1H), 7.22-7.30 (m, 2H), 7.41 (d, $J = 9.2$ Hz, 1H), 7.57-7.59 (m, 2H), 8.04 (s, 1H), 9.88 (s, 1H); ^{13}C NMR (CDCl_3 , 100 MHz) δ : 56.0, 105.6, 110.8, 117.4, 120.0, 120.2, 121.1, 124.1, 124.3, 124.4, 125.1, 129.6, 130.1, 150.8, 152.9, 157.6, 160.4, 167.2, 175.5; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{19}\text{H}_{12}\text{NaO}_5$ $[\text{M}+\text{Na}]^+$: 343.0577; Found: 343.0574.

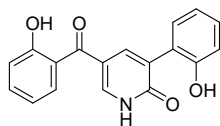
4. Synthesis of *N*-H pyridones **3** by reaction of ammonia

In a sealed tube equipped with a magnetic stirring bar, to 1.5 mL of $\text{NH}_3 \cdot \text{H}_2\text{O}$ (25%) was added 3-vinyl benzofuranone-chromone **1** (0.30 mmol). The reaction mixture was stirred at rt for 5 h. After completion of the reaction, as indicated by TLC, purification by flash column chromatography (hexane/EtOAc, 5/1, v/v) was carried out to furnish the *N*-H 2-hydroxy benzoylpyridones **3**.

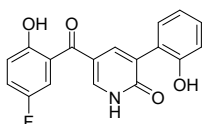
5. Synthesis of *N*-H pyridones **3** by reaction of NH_4OAc

In a sealed tube equipped with a magnetic stirring bar, to 1.5 mL of EtOH was added 3-vinyl benzofuranone-chromone **1** (0.30 mmol) and NH_4OAc (0.60 mmol). The reaction mixture was stirred at rt for 3 h. After completion of the reaction, as indicated by TLC, purification by flash column chromatography (hexane/EtOAc, 5/1, v/v) was carried out to furnish the *N*-H 2-hydroxy benzoylpyridones **3**.

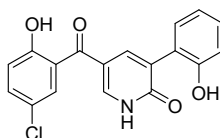
6. Characterization data of *N*-H pyridones **3**



5-(2-hydroxybenzoyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3aa): Light yellow solid, m.p. 102.7-102.9 °C; 83.8 mg, yield 91% (82.9 mg, 90% for NH₄OAc); ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 6.84-6.99 (m, 4H), 7.18-7.22 (m, 1H), 7.29-7.42 (m, 3H), 7.75 (s, 1H), 7.93-7.95 (m, 1H), 9.54 (br s, 1H), 10.23 (br s, 1H), 12.43 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 117.0, 117.7, 119.5, 119.7, 123.8, 125.6, 129.0, 129.7, 130.2, 131.2, 133.0, 139.1, 141.6, 155.6, 155.9, 162.3, 192.1, one carbon missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₁₈H₁₃NNaO₄ [M+Na]⁺: 330.0737; Found: 330.0742.

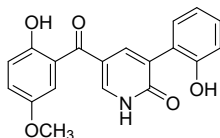


5-(5-fluoro-2-hydroxybenzoyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3ab): Light yellow solid, m.p. 100.8-101.2 °C; 86.7 mg, yield 89% (86.8 mg, 90% for NH₄OAc); ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 6.87-7.02 (m, 3H), 7.20-7.34 (m, 4H), 7.81 (d, *J* = 2.4 Hz, 1H), 7.97 (s, 1H), 9.56 (br s, 1H), 10.15 (br s, 1H), 12.47 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 115.9 (d, *J*_{CF} = 24.3 Hz), 116.9, 117.3, 118.1 (d, *J*_{CF} = 7.3 Hz), 119.2 (d, *J*_{CF} = 23.1 Hz), 119.5, 123.7, 126.6 (d, *J*_{CF} = 6.3 Hz), 129.1, 129.7, 131.2, 138.7, 142.1, 151.8, 155.4 (d, *J*_{CF} = 235.5 Hz), 155.5, 162.3, 190.5; ¹⁹F NMR (CDCl₃, 470 MHz) δ : -122.26; HRMS (ESI-TOF) *m/z*: Calcd. for C₁₈H₁₂FNNaO₄ [M+Na]⁺: 348.0643; Found: 348.0641.

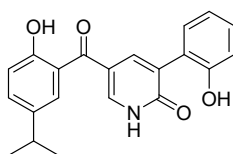


5-(5-chloro-2-hydroxybenzoyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3ac): Light yellow solid, m.p. 103.2-104.4 °C; 94.1 mg, yield 92% (93.1 mg, 91% for NH₄OAc); ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 6.89-6.96 (m, 2H), 7.03 (d, *J* = 8.8 Hz, 1H), 7.23-7.27 (m, 1H), 7.33-7.35 (m, 1H), 7.40 (d, *J* = 2.8 Hz, 1H), 7.45-7.48 (m, 1H), 7.81 (d, *J* = 2.4 Hz, 1H), 7.97 (d, *J* = 2.4 Hz, 1H), 9.55 (br s, 1H), 10.43 (br s, 1H), 12.46 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 116.9, 117.2, 118.7, 119.4, 123.3, 123.7, 127.7, 129.1, 129.2, 129.7, 131.2, 132.1, 138.6, 142.1, 154.3, 155.5,

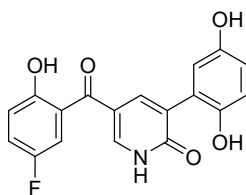
162.3, 190.2; HRMS (ESI-TOF) m/z : Calcd. for $C_{18}H_{12}ClNNaO_4$ $[M+Na]^+$: 364.0347; Found: 364.0349.



5-(2-hydroxy-5-methoxybenzoyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3ad): Light yellow solid, m.p. 82.5-83.4 °C; 92.0 mg, yield 91% (93.0 mg, 92% for NH_4OAc); 1H NMR ($DMSO-d_6$, 400 MHz) δ : 3.71 (s, 3H), 6.84-6.91 (m, 4H), 6.98-7.01 (m, 1H), 7.18-7.22 (m, 1H), 7.28-7.30 (m, 1H), 7.76 (d, $J = 2.4$ Hz, 1H), 7.94 (d, $J = 2.8$ Hz, 1H), 9.53 (br s, 1H), 9.68 (br s, 1H), 12.41 (br s, 1H); ^{13}C NMR ($DMSO-d_6$, 100 MHz) δ : 56.0, 114.0, 117.0, 117.6, 118.0, 119.1, 119.5, 123.8, 126.0, 129.0, 129.7, 131.2, 139.0, 141.8, 149.4, 152.5, 155.6, 162.3, 191.6; HRMS (ESI-TOF) m/z : Calcd. for $C_{19}H_{15}NNaO_5$ $[M+Na]^+$: 360.0842; Found: 360.0841.

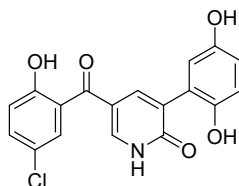


5-(2-hydroxy-5-isopropylbenzoyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3ae): Light yellow solid, m.p. 123.2-124.3 °C; 92.1 mg, yield 88% (89.0 mg, 85% for NH_4OAc); 1H NMR ($DMSO-d_6$, 400 MHz) δ : 1.17 (d, $J = 7.2$ Hz, 6H), 2.81-2.88 (m, 1H), 6.84-6.93 (m, 3H), 7.18-7.22 (m, 2H), 7.26-7.31 (m, 2H), 7.78 (d, $J = 2.4$ Hz, 1H), 7.97 (d, $J = 2.4$ Hz, 1H), 9.56 (br s, 1H), 10.04 (br s, 1H), 12.44 (br s, 1H); ^{13}C NMR ($DMSO-d_6$, 100 MHz) δ : 24.4, 32.9, 117.0, 117.1, 117.8, 119.6, 123.9, 125.1, 127.7, 129.0, 129.7, 131.0, 131.2, 139.3, 139.6, 141.6, 154.1, 155.6, 162.4, 192.3; HRMS (ESI-TOF) m/z : Calcd. for $C_{21}H_{19}NNaO_4$ $[M+Na]^+$: 372.1206; Found: 372.1211.



3-(2,5-dihydroxyphenyl)-5-(5-fluoro-2-hydroxybenzoyl)pyridin-2(1H)-one (3af): Light yellow solid, m.p. 100.3-101.1 °C; 92.1 mg, yield 90% (93.1 mg, 91% for NH_4OAc); 1H NMR

(DMSO-*d*₆, 400 MHz) δ : 6.67-6.70 (m, 1H), 6.75-6.80 (m, 2H), 7.00-7.03 (m, 1H), 7.21-7.31 (m, 2H), 7.82 (s, 1H), 7.98 (s, 1H), 8.84 (d, *J* = 7.6 Hz, 2H), 10.14 (br s, 1H), 12.52 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 115.9 (d, *J*_{CF} = 24.4 Hz), 116.5, 117.2, 117.4, 117.9, 118.2, 119.2 (d, *J*_{CF} = 23.0 Hz), 124.0, 126.6 (d, *J*_{CF} = 6.1 Hz), 129.0, 138.9, 141.9, 148.0, 150.3, 151.8, 155.6 (d, *J*_{CF} = 234.4 Hz), 162.4, 190.5; ¹⁹F NMR (CDCl₃, 470 MHz) δ : -125.05; HRMS (ESI-TOF) *m/z*: Calcd. for C₁₈H₁₂FNNaO₅ [M+Na]⁺: 364.0592; Found: 364.0592.

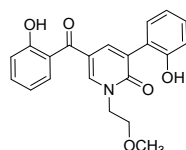


5-(5-chloro-2-hydroxybenzoyl)-3-(2,5-dihydroxyphenyl)pyridin-2(1H)-one (3ag): Light yellow solid, m.p. 120.7-120.9 °C; 98.5 mg, yield 92% (96.4 mg, 90% for NH₄OAc); ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 6.62-6.65 (m, 1H), 6.72 (d, *J* = 8.0 Hz, 2H), 6.98 (d, *J* = 8.8 Hz, 1H), 7.36 (d, *J* = 2.4 Hz, 1H), 7.41 (d, *J* = 8.8 Hz, 1H), 7.77 (s, 1H), 7.93 (s, 1H), 8.79 (d, *J* = 7.2 Hz, 2H), 10.38 (br s, 1H), 12.48 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 116.6, 117.2, 117.5, 117.9, 118.7, 123.3, 124.0, 127.7, 129.0, 129.2, 132.2, 138.9, 142.0, 148.0, 150.3, 154.3, 162.4, 190.3; HRMS (ESI-TOF) *m/z*: Calcd. for C₁₈H₁₂ClNNaO₅ [M+Na]⁺: 380.0296; Found: 380.0291.

7. Synthesis of *N*-alkyl pyridones **3** by reaction of and primary amines **2**

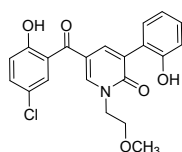
In a sealed tube equipped with a magnetic stirring bar, to 1.5 mL of EtOH was added 3-vinyl benzofuranone-chromone **1** (0.30 mmol) and primary amine **2** (0.60 mmol). The reaction mixture was stirred at rt for 5 h. After completion of the reaction, as indicated by TLC, purification by flash column chromatography (hexane/EtOAc, 5/1, v/v) was carried out to furnish the *N*-alkyl 2-hydroxy benzoylpyridones **3**.

8. Characterization data of *N*-alkyl pyridones **3**

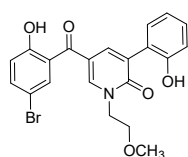


5-(2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(2-methoxyethyl)pyridin-2(1H)-one (3ba):

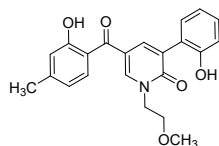
Light yellow solid, m.p. 120.7-121.8 °C; 99.6 mg, yield 91%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.26 (s, 3H), 3.61-3.64 (m, 2H), 4.23-4.25 (m, 2H), 6.83-6.87 (m, 1H), 6.91-6.97 (m, 2H), 7.00 (d, *J* = 8.0 Hz, 1H), 7.17-7.22 (m, 1H), 7.27-7.30 (m, 1H), 7.38-7.44 (m, 2H), 7.87 (d, *J* = 2.4 Hz, 1H), 8.20 (d, *J* = 2.4 Hz, 1H), 9.43 (br s, 1H), 10.30 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 49.7, 58.5, 69.7, 116.7, 116.8, 117.1, 119.4, 119.6, 124.0, 125.1, 128.0, 129.6, 130.4, 131.4, 133.2, 138.7, 145.7, 155.4, 156.6, 161.3, 192.5; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₁H₁₉NNaO₅ [M+Na]⁺: 388.1155; Found: 388.1152.



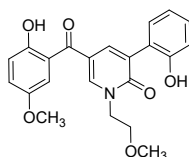
5-(5-chloro-2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(2-methoxyethyl)pyridin-2(1H)-one(3bb): Light yellow solid, m.p. 100.6-101.2 °C; 104.1 mg, yield 87%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.26 (s, 3H), 3.60-3.63 (m, 2H), 4.22-4.25 (m, 2H), 6.83-6.86 (m, 1H), 6.90-6.92 (m, 1H), 7.00 (d, *J* = 8.8 Hz, 1H), 7.17-7.21 (m, 1H), 7.26-7.28 (m, 1H), 7.34 (d, *J* = 2.8 Hz, 1H), 7.41-7.44 (m, 1H), 7.85 (d, *J* = 2.8 Hz, 1H), 8.19 (d, *J* = 2.8 Hz, 1H), 9.41 (br s, 1H), 10.39 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 49.6, 58.5, 69.6, 116.4, 116.6, 118.8, 119.3, 123.2, 123.9, 127.4, 128.1, 129.2, 129.6, 131.4, 132.2, 138.2, 146.2, 154.8, 155.4, 161.2, 190.5; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₁H₁₈ClNNaO₅ [M+Na]⁺: 422.0766; Found: 422.0768.



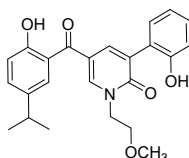
5-(5-bromo-2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(2-methoxyethyl)pyridin-2(1H)-one(3bc): Light yellow solid, m.p. 134.8-135.4 °C; 118.3 mg, yield 89%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.27 (s, 3H), 3.60-3.63 (m, 2H), 4.22-4.24 (m, 2H), 6.83-6.87 (m, 1H), 6.90-6.92 (m, 1H), 6.96 (d, *J* = 8.8 Hz, 1H), 7.17-7.21 (m, 1H), 7.26-7.29 (m, 1H), 7.46 (d, *J* = 2.4 Hz, 1H), 7.52-7.55 (m, 1H), 7.85 (d, *J* = 2.8 Hz, 1H), 8.18 (d, *J* = 2.4 Hz, 1H), 9.41 (br s, 1H), 10.42 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 49.6, 58.5, 69.6, 110.6, 116.5, 116.7, 119.3, 119.4, 123.9, 128.0, 128.1, 129.6, 131.4, 132.0, 135.1, 138.2, 146.2, 155.2, 155.4, 161.3, 190.4; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₁H₁₈BrNNaO₅ [M+Na]⁺: 466.0261; Found: 466.0267.



5-(2-hydroxy-4-methylbenzoyl)-3-(2-hydroxyphenyl)-1-(2-methoxyethyl)pyridin-2(1H)-one (3bd): Light yellow solid, m.p. 105.7-106.4 °C; 102.3 mg, yield 90%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 2.31 (s, 3H), 3.28 (s, 3H), 3.62-3.64 (m, 2H), 4.23-4.26 (m, 2H), 6.77-6.92 (m, 4H), 7.17-7.21 (m, 1H), 7.27-7.29 (m, 1H), 7.35 (d, *J* = 7.6 Hz, 1H), 7.85 (d, *J* = 2.8 Hz, 1H), 8.20 (d, *J* = 2.4 Hz, 1H), 9.41 (br s, 1H), 10.47 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 21.7, 49.7, 58.6, 70.0, 116.7, 116.8, 117.6, 119.3, 120.6, 121.6, 124.0, 127.9, 129.6, 131.0, 131.4, 139.0, 144.4, 145.4, 155.4, 157.7, 161.2, 192.7; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₂H₂₁NNaO₅ [M+Na]⁺: 402.1312; Found: 402.1317.

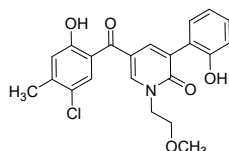


5-(2-hydroxy-5-methoxybenzoyl)-3-(2-hydroxyphenyl)-1-(2-methoxyethyl)pyridin-2(1H)-one (3be): Light yellow solid, m.p. 100.8-101.3 °C; 106.7 mg, yield 90%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 3.25 (s, 3H), 3.61-3.63 (m, 2H), 3.73 (s, 3H), 4.22-4.25 (m, 2H), 6.83-6.86 (m, 1H), 6.90-6.93 (m, 3H), 7.00-7.03 (m, 1H), 7.17-7.21 (m, 1H), 7.26-7.28 (m, 1H), 7.85 (d, *J* = 2.4 Hz, 1H), 8.21 (d, *J* = 2.4 Hz, 1H), 9.41 (br s, 1H), 9.74 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 49.8, 56.0, 58.5, 69.7, 114.1, 116.7, 118.1, 119.3, 119.5, 124.0, 125.4, 127.9, 129.6, 131.4, 138.6, 145.8, 150.1, 152.4, 155.4, 161.3, 192.0, one carbon missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₂H₂₁NNaO₆ [M+Na]⁺: 418.1261; Found: 418.1265.

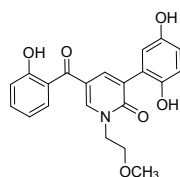


5-(2-hydroxy-5-isopropylbenzoyl)-3-(2-hydroxyphenyl)-1-(2-methoxyethyl)pyridin-2(1H)-one (3bf): Light yellow solid, m.p. 145.3-146.6 °C; 111.1 mg, yield 91%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 1.19 (d, *J* = 6.8 Hz, 6H), 2.83-2.90 (m, 1H), 3.26 (s, 3H), 3.62-3.64 (m, 2H), 4.22-4.25 (m, 2H), 6.83-6.87 (m, 1H), 6.91-6.94 (m, 2H), 7.17-7.31 (m, 4H), 7.87 (d, *J* = 2.4 Hz, 1H),

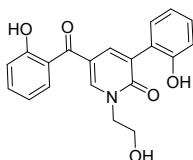
8.19 (d, $J = 2.4$ Hz, 1H), 9.41 (br s, 1H), 10.09 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 24.4, 33.0, 49.9, 58.6, 69.7, 116.7, 116.9, 117.1, 119.3, 124.0, 124.6, 127.9, 128.0, 129.6, 131.3, 131.4, 138.8, 139.4, 145.7, 154.8, 155.5, 161.3, 192.6; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{24}\text{H}_{25}\text{NNaO}_5$ $[\text{M}+\text{Na}]^+$: 430.1625; Found: 430.1627.



5-(5-chloro-2-hydroxy-4-methylbenzoyl)-3-(2-hydroxyphenyl)-1-(2-methoxyethyl)pyridin-2(1H)-one (3bg): Light yellow solid, m.p. 130.2-131.1 °C; 115.2 mg, yield 93%; ^1H NMR (CDCl_3 , 400 MHz) δ : 2.29 (s, 3H), 3.34 (s, 3H), 3.62-3.65 (m, 2H), 4.20-4.22 (m, 2H), 6.84 (s, 1H), 6.87 (d, $J = 7.6$ Hz, 1H), 6.91 (d, $J = 8.0$ Hz, 1H), 7.17-7.22 (m, 2H), 7.53 (s, 1H), 7.93 (d, $J = 7.2$ Hz, 2H), 8.84 (s, 1H), 11.32 (s, 1H); ^{13}C NMR (CDCl_3 , 100 MHz) δ : 20.9, 51.4, 59.2, 69.6, 117.7, 117.8, 119.7, 120.8, 121.0, 124.2, 124.5, 130.6, 130.8, 131.3, 131.4, 140.3, 143.1, 145.8, 156.0, 161.1, 162.6, 193.8; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{22}\text{H}_{20}\text{ClNNaO}_5$ $[\text{M}+\text{Na}]^+$: 436.0922; Found: 436.0927.

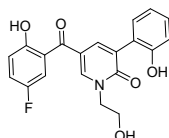


3-(2,5-dihydroxyphenyl)-5-(2-hydroxybenzoyl)-1-(2-methoxyethyl)pyridin-2(1H)-one (3bh): Light yellow solid, m.p. 120.3-121.3 °C; 99.4 mg, yield 87%; ^1H NMR (DMSO- d_6 , 400 MHz) δ : 3.28 (s, 3H), 3.63-3.66 (m, 2H), 4.26-4.28 (m, 2H), 6.63-6.66 (m, 1H), 6.72-6.74 (m, 2H), 6.95-7.02 (m, 2H), 7.39-7.46 (m, 2H), 7.86 (d, $J = 2.4$ Hz, 1H), 8.21 (d, $J = 2.4$ Hz, 1H), 8.67 (br s, 1H), 8.80 (br s, 1H), 10.28 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 49.8, 58.5, 69.6, 116.4, 116.9, 117.1, 117.4, 117.6, 119.6, 124.3, 125.1, 127.9, 130.4, 133.2, 138.9, 145.5, 147.8, 150.2, 156.5, 161.3, 192.5; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{21}\text{H}_{19}\text{NNaO}_6$ $[\text{M}+\text{Na}]^+$: 404.1105; Found: 404.1109.



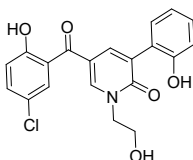
5-(2-hydroxybenzoyl)-1-(2-hydroxyethyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3ca):

Light yellow solid, m.p. 110.6-111.1 °C; 96.9 mg, yield 92%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.70 (s, 2H), 4.12-4.14 (m, 2H), 5.00 (s, 1H), 6.84-7.02 (m, 4H), 7.18-7.22 (m, 1H), 7.27-7.30 (m, 1H), 7.40-7.44 (m, 2H), 7.88 (s, 1H), 8.21 (d, *J* = 2.4 Hz, 1H), 9.42 (br s, 1H), 10.30 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 53.2, 58.9, 116.6, 116.8, 117.2, 119.4, 119.6, 124.1, 125.2, 127.9, 129.6, 130.4, 131.4, 133.2, 138.9, 145.9, 155.5, 156.5, 161.4, 192.5; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₀H₁₇NNaO₅ [M+Na]⁺: 374.0999; Found: 374.0992.



5-(5-fluoro-2-hydroxybenzoyl)-1-(2-hydroxyethyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3cb):

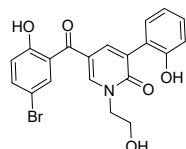
Light yellow solid, m.p. 110.8-110.8 °C; 100.7 mg, yield 91%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.66-3.68 (m, 2H), 4.10-4.12 (m, 2H), 4.97 (br s, 1H), 6.83-6.86 (m, 1H), 6.90 (d, *J* = 8.4 Hz, 1H), 6.96-6.99 (m, 1H), 7.16-7.27 (m, 4H), 7.84 (d, *J* = 5.2 Hz, 1H), 8.20 (d, *J* = 2.4 Hz, 1H), 9.41 (br s, 1H), 10.10 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 53.1, 58.9, 115.9 (d, *J*_{CF} = 24.3 Hz), 116.2, 116.7, 118.3 (d, *J*_{CF} = 8.2 Hz), 119.2 (d, *J*_{CF} = 23.3 Hz), 119.4, 124.0, 126.4, 127.9, 129.6, 131.4, 138.4, 146.3, 152.2, 155.3 (d, *J*_{CF} = 235.4 Hz), 155.4, 161.3, 190.8; ¹⁹F NMR (CDCl₃, 470 MHz) δ: -123.03; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₀H₁₆FNNaO₅ [M+Na]⁺: 392.0905; Found: 392.0907.



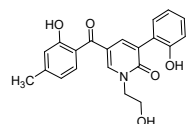
5-(5-chloro-2-hydroxybenzoyl)-1-(2-hydroxyethyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3cc):

Light yellow solid, m.p. 98.7-99.9 °C; 104.9 mg, yield 90%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.68 (s, 2H), 4.11-4.13 (m, 2H), 4.97 (s, 1H), 6.83-6.87 (m, 1H), 6.91 (d, *J* = 8.0 Hz, 1H), 7.01 (d, *J* = 8.8 Hz, 1H), 7.17-7.21 (m, 1H), 7.26-7.28 (m, 1H), 7.36 (d, *J* = 2.8 Hz, 1H),

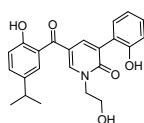
7.40-7.43 (m, 1H), 7.85 (d, $J = 2.8$ Hz, 1H), 8.21 (d, $J = 2.4$ Hz, 1H), 9.40 (br s, 1H), 10.40 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 53.1, 58.9, 116.3, 116.7, 118.8, 119.4, 123.3, 124.0, 127.5, 128.0, 129.2, 129.6, 131.4, 132.2, 138.4, 146.4, 154.7, 155.4, 161.4, 190.6; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{16}\text{ClNNaO}_5$ $[\text{M}+\text{Na}]^+$: 408.0609; Found: 408.0614.



5-(5-bromo-2-hydroxybenzoyl)-1-(2-hydroxyethyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one(3cd): Light yellow solid, m.p. 128.5-129.2 °C; 114.9 mg, yield 89%; ^1H NMR (DMSO- d_6 , 400 MHz) δ : 3.66 (s, 2H), 4.09-4.12 (m, 2H), 4.96 (br s, 1H), 6.82-6.95 (m, 3H), 7.17-7.21 (m, 1H), 7.25-7.27 (m, 1H), 7.46 (s, 1H), 7.53 (d, $J = 8.8$ Hz, 1H), 7.82 (d, $J = 2.8$ Hz, 1H), 8.19 (d, $J = 2.8$ Hz, 1H), 9.39 (br s, 1H), 10.40 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 53.1, 58.9, 110.7, 116.3, 116.7, 119.3, 119.4, 124.0, 127.9, 128.1, 129.6, 131.4, 132.0, 135.1, 138.3, 146.4, 155.1, 155.4, 161.3, 190.5; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{16}\text{BrNNaO}_5$ $[\text{M}+\text{Na}]^+$: 452.0104; Found: 452.0110.

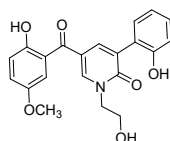


5-(2-hydroxy-4-methylbenzoyl)-1-(2-hydroxyethyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one(3ce): Light yellow solid, m.p. 87.3-88.4 °C; 99.6 mg, yield 91%; ^1H NMR (DMSO- d_6 , 400 MHz) δ : 2.31 (s, 3H), 3.69 (s, 2H), 4.11-4.14 (m, 2H), 5.00 (br s, 1H), 6.76-6.91 (m, 4H), 7.17-7.21 (m, 1H), 7.27-7.29 (m, 1H), 7.37 (d, $J = 8.0$ Hz, 1H), 7.85 (d, $J = 2.8$ Hz, 1H), 8.20 (d, $J = 2.4$ Hz, 1H), 9.42 (br s, 1H), 10.47 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 21.7, 53.2, 58.9, 116.6, 116.8, 117.7, 119.4, 120.6, 121.6, 124.1, 127.8, 129.6, 131.0, 131.4, 139.1, 144.4, 145.7, 155.5, 157.6, 161.3, 192.7; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{21}\text{H}_{19}\text{NNaO}_5$ $[\text{M}+\text{Na}]^+$: 388.1155; Found: 388.1159.

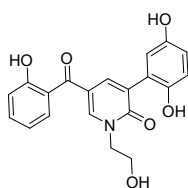


5-(2-hydroxy-5-isopropylbenzoyl)-1-(2-hydroxyethyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-

one (3cf): Light yellow solid, m.p. 100.2-101.1 °C; 106.1 mg, yield 90%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 1.18-1.20 (m, 6H), 2.50-2.51 (m, 1H), 3.70 (s, 2H), 4.12-4.14 (m, 2H), 5.01 (br s, 1H), 6.84-6.88 (m, 1H), 6.90-6.94 (m, 2H), 7.18-7.22 (m, 1H), 7.27-7.32 (m, 3H), 7.88 (d, *J* = 2.4 Hz, 1H), 8.21 (d, *J* = 2.4 Hz, 1H), 9.41 (br s, 1H), 10.14 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 24.4, 32.9, 53.2, 59.0, 116.6, 116.8, 117.2, 119.4, 124.2, 124.4, 128.0, 128.1, 129.6, 131.4, 131.5, 139.0, 139.4, 146.0, 154.9, 155.5, 161.4, 192.7; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₃H₂₃NNaO₅ [M+Na]⁺: 416.1468; Found: 416.1473.

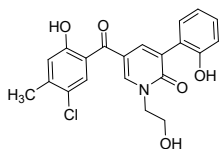


5-(2-hydroxy-5-methoxybenzoyl)-1-(2-hydroxyethyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3cg): Light yellow solid, m.p. 98.6-98.6 °C; 101.7 mg, yield 89%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.68-3.71 (m, 2H), 3.73 (s, 3H), 4.11-4.14 (m, 2H), 5.01 (br s, 1H), 6.84-6.88 (m, 1H), 6.91-6.94 (m, 3H), 7.01-7.04 (m, 1H), 7.18-7.22 (m, 1H), 7.27-7.29 (m, 1H), 7.88 (d, *J* = 2.8 Hz, 1H), 8.23 (d, *J* = 2.4 Hz, 1H), 9.49 (br s, 1H), 9.75 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 53.2, 55.9, 58.9, 113.9, 116.5, 116.8, 118.3, 119.4, 119.9, 124.1, 125.1, 127.9, 129.6, 131.4, 138.8, 146.1, 150.4, 152.3, 155.5, 161.4, 192.1; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₁H₁₉NNaO₆ [M+Na]⁺: 404.1105; Found: 404.1108.

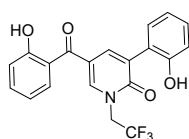


3-(2,5-dihydroxyphenyl)-5-(2-hydroxybenzoyl)-1-(2-hydroxyethyl)pyridin-2(1H)-one (3ch): Light yellow solid, m.p. 85.6-86.4 °C; 100.0 mg, yield 89%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.72 (d, *J* = 4.0 Hz, 2H), 4.15-4.18 (m, 2H), 5.02 (s, 1H), 6.65-6.68 (m, 1H), 6.74-6.76 (m, 2H), 6.97-7.04 (m, 2H), 7.43-7.48 (m, 2H), 7.89 (d, *J* = 2.8 Hz, 1H), 8.24 (d, *J* = 2.4 Hz, 1H), 8.68 (br s, 1H), 8.83 (br s, 1H), 10.31 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 53.3, 58.9, 116.4, 116.8, 117.2, 117.4, 117.7, 119.6, 124.4, 125.1, 127.8, 130.4, 133.2, 139.0, 145.7, 147.9, 150.2, 156.5, 161.4, 192.5; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₀H₁₇NNaO₆ [M+Na]⁺: 390.0948; Found:

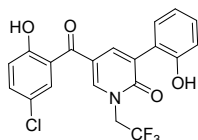
390.0951.



5-(5-chloro-2-hydroxy-4-methylbenzoyl)-1-(2-hydroxyethyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3ci): Light yellow solid, m.p. 100.7-101.2 °C; 110.1 mg, yield 92%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 2.32 (s, 3H), 3.68 (s, 2H), 4.11-4.13 (m, 2H), 4.97 (br s, 1H), 6.83-6.87 (m, 1H), 6.90 (d, *J* = 8.0 Hz, 1H), 6.95 (s, 1H), 7.17-7.21 (m, 1H), 7.26-7.28 (m, 1H), 7.38 (s, 1H), 7.84 (d, *J* = 2.8 Hz, 1H), 8.22 (d, *J* = 2.4 Hz, 1H), 9.40 (br s, 1H), 10.38 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 20.4, 53.1, 58.9, 116.4, 116.8, 119.4, 119.6, 123.7, 124.0, 124.8, 127.9, 129.6, 130.0, 131.4, 138.6, 140.5, 146.2, 155.1, 155.4, 161.3, 190.7; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₁H₁₈ClNNaO₅ [M+Na]⁺: 422.0766; Found: 422.0761.

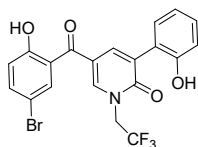


5-(2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(2,2,2-trifluoroethyl)pyridin-2(1H)-one (3da): Light yellow solid, m.p. 97.2-97.6 °C; 107.4 mg, yield 92%; ¹H NMR (CDCl₃, 400 MHz) δ : 4.70-4.76 (m, 2H), 6.84-6.96 (m, 3H), 7.00 (d, *J* = 8.4 Hz, 1H), 7.15-7.17 (m, 1H), 7.23-7.27 (m, 1H), 7.44-7.50 (m, 2H), 7.87 (s, 1H), 7.94 (d, *J* = 2.4 Hz, 1H), 8.22 (br s, 1H), 11.28 (br s, 1H); ¹³C NMR (CDCl₃, 100 MHz) δ : 49.5 (q, *J*_{C,F} = 35.3 Hz), 118.5, 119.0, 119.4, 119.8, 119.9, 121.3, 123.7, 124.6 (q, *J*_{C,F} = 270.4 Hz), 130.8, 131.0, 131.7, 131.9, 137.0, 140.8, 141.0, 155.8, 162.3, 162.8, 194.7; ¹⁹F NMR (CDCl₃, 470 MHz) δ : -70.20; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₀H₁₄F₃NNaO₄ [M+Na]⁺: 412.0767; Found: 412.0762.

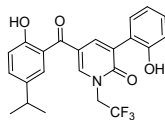


5-(5-chloro-2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(2,2,2-trifluoroethyl)pyridin-2(1H)-one (3db): Light yellow solid, m.p. 92.3-92.9 °C; 112.9 mg, yield 89%; ¹H NMR (CDCl₃, 400 MHz) δ : 4.74-4.80 (m, 2H), 6.91-7.00 (m, 3H), 7.17-7.20 (m, 1H), 7.25-7.29 (m, 1H), 7.41-7.44

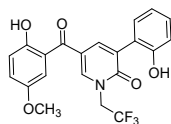
(m, 1H), 7.50 (s, 1H), 7.89 (s, 1H), 7.95 (d, $J = 2.4$ Hz, 1H), 8.10 (br s, 1H), 11.13 (br s, 1H); ^{13}C NMR (CDCl_3 , 100 MHz) δ : 48.1 (q, $J_{\text{C,F}} = 34.2$ Hz), 118.1, 118.8, 119.6, 120.3, 122.5, 123.1, 123.4, 124.5 (q, $J_{\text{C,F}} = 270.7$ Hz), 129.6, 129.8, 130.1, 131.3, 135.7, 139.4, 139.9, 154.7, 160.1, 161.2, 192.6; ^{19}F NMR (CDCl_3 , 470 MHz) δ : -70.23; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{13}\text{ClF}_3\text{NNaO}_4$ $[\text{M}+\text{Na}]^+$: 446.0377; Found: 446.0379.



5-(5-bromo-2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(2,2,2-trifluoroethyl)pyridin-2(1H)-one (3dc): Light yellow solid, m.p. 78.9-79.4 °C; 126.1 mg, yield 90%; ^1H NMR (CDCl_3 , 400 MHz) δ : 4.71-4.78 (m, 2H), 6.89-6.94 (m, 3H), 7.16-7.18 (m, 1H), 7.23-7.27 (m, 1H), 7.52-7.55 (m, 1H), 7.63 (d, $J = 2.4$ Hz, 1H), 7.87 (s, 1H), 7.92 (s, 1H), 8.09 (br s, 1H), 11.13 (br s, 1H); ^{13}C NMR (CDCl_3 , 100 MHz) δ : 49.1 (q, $J_{\text{C,F}} = 33.7$ Hz), 110.9, 119.1, 119.7, 119.8, 120.9, 121.4, 123.5, 124.2 (q, $J_{\text{C,F}} = 270.1$ Hz), 130.9, 131.1, 133.7, 139.5, 140.5, 155.6, 161.5, 162.2, 193.5, two carbons missing in the aromatic region; ^{19}F NMR (CDCl_3 , 470 MHz) δ : -70.22; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{13}\text{BrF}_3\text{NNaO}_4$ $[\text{M}+\text{Na}]^+$: 489.9872; Found: 489.9874.

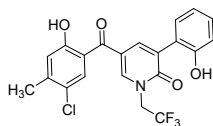


5-(2-hydroxy-5-isopropylbenzoyl)-3-(2-hydroxyphenyl)-1-(2,2,2-trifluoroethyl)pyridin-2(1H)-one (3dd): Light yellow solid, m.p. 100.2-101.1 °C; 112.5 mg, yield 87%; ^1H NMR ($\text{DMSO}-d_6$, 400 MHz) δ : 1.19 (d, $J = 6.8$ Hz, 6H), 2.83-2.90 (m, 1H), 3.26 (s, 3H), 3.62-3.64 (m, 2H), 4.22-4.25 (m, 2H), 6.83-6.87 (m, 1H), 6.91-6.94 (m, 2H), 7.17-7.31 (m, 4H), 7.87 (d, $J = 2.4$ Hz, 1H), 8.19 (d, $J = 2.4$ Hz, 1H), 9.41 (br s, 1H), 10.09 (br s, 1H); ^{13}C NMR ($\text{DMSO}-d_6$, 100 MHz) δ : 24.4, 33.0, 48.0 (q, $J_{\text{C,F}} = 35.0$ Hz), 116.4, 117.1, 117.7, 119.2, 123.4, 124.6 (q, $J_{\text{C,F}} = 270.0$ Hz), 125.9, 127.9, 128.6, 129.8, 131.3, 131.5, 138.9, 139.4, 145.3, 154.6, 155.3, 160.7, 192.2; ^{19}F NMR (CDCl_3 , 470 MHz) δ : -70.09; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{23}\text{H}_{20}\text{F}_3\text{NNaO}_4$ $[\text{M}+\text{Na}]^+$: 454.1237; Found: 454.1232.



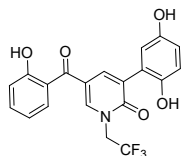
5-(2-hydroxy-5-methoxybenzoyl)-3-(2-hydroxyphenyl)-1-(2,2,2-trifluoroethyl)pyridin-

2(1H)-one (3de): Light yellow solid, m.p. 105.7-106.3 °C; 114.4 mg, yield 91%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.72 (s, 3H), 5.03-5.10 (m, 2H), 6.82-6.86 (m, 1H), 6.90-6.94 (m, 3H), 7.01-7.04 (m, 1H), 7.18-7.22 (m, 1H), 7.25-7.27 (m, 1H), 7.87 (d, *J* = 2.4 Hz, 1H), 8.31 (d, *J* = 2.4 Hz, 1H), 9.48 (br s, 1H), 9.74 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 48.0 (q, *J*_{C,F} = 34.4 Hz), 55.9, 113.9, 116.4, 117.6, 118.1, 119.2, 119.8, 123.4, 124.1 (q, *J*_{C,F} = 270.2 Hz), 125.8, 128.6, 129.8, 131.3, 138.8, 145.4, 150.1, 152.4, 155.3, 160.7, 191.7; ¹⁹F NMR (CDCl₃, 470 MHz) δ: -70.10; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₁H₁₆F₃NNaO₅ [M+Na]⁺: 442.0873; Found: 442.0873.



5-(5-chloro-2-hydroxy-4-methylbenzoyl)-3-(2-hydroxyphenyl)-1-(2,2,2-

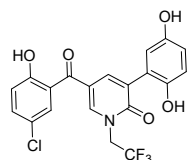
trifluoroethyl)pyridin-2(1H)-one (3df): Light yellow solid, m.p. 103.8-104.8 °C; 118.0 mg, yield 90%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 2.33 (s, 3H), 5.02-5.09 (m, 2H), 6.82-6.86 (m, 1H), 6.91 (d, *J* = 7.6 Hz, 1H), 6.96 (s, 1H), 7.17-7.22 (m, 1H), 7.25-7.27 (m, 1H), 7.36 (s, 1H), 7.86 (d, *J* = 2.4 Hz, 1H), 8.32 (d, *J* = 2.0 Hz, 1H), 9.48 (br s, 1H), 10.37 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 20.3, 48.0 (q, *J*_{C,F} = 35.7 Hz), 116.4, 117.5, 119.2, 119.5, 123.3, 123.8, 124.2 (q, *J*_{C,F} = 270.1 Hz), 125.8, 128.6, 129.8, 130.0, 131.3, 138.6, 140.6, 145.6, 154.9, 155.3, 160.7, 190.4; ¹⁹F NMR (CDCl₃, 470 MHz) δ: -70.25; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₁H₁₅ClF₃NNaO₄ [M+Na]⁺: 460.0534; Found: 460.0535.



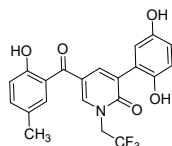
3-(2,5-dihydroxyphenyl)-5-(2-hydroxybenzoyl)-1-(2,2,2-trifluoroethyl)pyridin-2(1H)-one

(3dg): Light yellow solid, m.p. 106.9-107.9 °C; 93.6 mg, yield 77%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 5.04-5.11 (m, 2H), 6.61-6.64 (m, 1H), 6.71-6.74 (m, 2H), 6.93-7.00 (m, 2H), 7.35-7.43 (m, 2H), 7.87 (d, *J* = 2.4 Hz, 1H), 8.28 (d, *J* = 2.4 Hz, 1H), 8.73 (br s, 1H), 8.80 (br s, 1H), 10.23

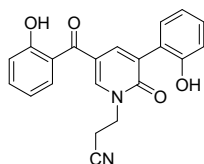
(br s, 1H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 48.0 (q, $J_{C,F}$ = 35.5 Hz), 116.5, 117.1, 117.2, 117.4, 117.8, 119.7, 123.6, 124.3 (q, $J_{C,F}$ = 270.5 Hz), 125.8, 128.3, 130.3, 133.3, 139.0, 145.1, 147.7, 150.0, 156.3, 160.8, 192.1; ^{19}F NMR (CDCl_3 , 470 MHz) δ : -70.24; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{14}\text{F}_3\text{NNaO}_5$ $[\text{M}+\text{Na}]^+$: 428.0716; Found: 428.0717.



5-(5-chloro-2-hydroxybenzoyl)-3-(2,5-dihydroxyphenyl)-1-(2,2,2-trifluoroethyl)pyridin-2(1H)-one (3dh): Light yellow solid, m.p. 103.8-105.0 °C; 102.7 mg, yield 78%; ^1H NMR (DMSO- d_6 , 400 MHz) δ : 5.02-5.09 (m, 2H), 6.61-6.64 (m, 1H), 6.71-6.74 (m, 2H), 7.00 (d, J = 8.8 Hz, 1H), 7.36 (s, 1H), 7.42-7.44 (m, 1H), 7.87 (d, J = 2.4 Hz, 1H), 8.29 (d, J = 2.0 Hz, 1H), 8.74 (br s, 1H), 8.79 (br s, 1H), 10.40 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 48.1 (q, $J_{C,F}$ = 35.2 Hz), 116.5, 117.2, 117.4, 118.8, 123.0, 123.3, 123.5, 124.7 (q, $J_{C,F}$ = 270.1 Hz), 127.3, 128.5, 129.3, 132.4, 138.5, 145.7, 147.7, 150.0, 154.7, 160.8, 190.4; ^{19}F NMR (CDCl_3 , 470 MHz) δ : -70.26; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{20}\text{H}_{13}\text{ClF}_3\text{NNaO}_5$ $[\text{M}+\text{Na}]^+$: 462.0327; Found: 462.0331.

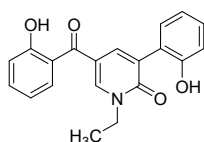


3-(2,5-dihydroxyphenyl)-5-(2-hydroxy-5-methylbenzoyl)-1-(2,2,2-trifluoroethyl)pyridin-2(1H)-one (3di): Light yellow solid, m.p. 109.7-110.5 °C; 104.3 mg, yield 83%; ^1H NMR (DMSO- d_6 , 400 MHz) δ : 2.25 (s, 3H), 5.04-5.11 (m, 2H), 6.62-6.65 (m, 1H), 6.72-6.74 (m, 2H), 6.89 (d, J = 8.4 Hz, 1H), 7.18 (d, J = 2.0 Hz, 1H), 7.21-7.24 (m, 1H), 7.87 (d, J = 2.8 Hz, 1H), 8.29 (d, J = 2.0 Hz, 1H), 8.73 (br s, 1H), 8.80 (br s, 1H), 10.03 (br s, 1H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 20.4, 48.1 (q, $J_{C,F}$ = 35.8 Hz), 116.5, 117.0, 117.2, 117.4, 117.8, 123.6, 124.3 (q, $J_{C,F}$ = 270.6 Hz), 124.7, 125.9, 128.3, 130.5, 134.0, 139.2, 145.0, 147.7, 150.0, 154.3, 160.8, 192.3; ^{19}F NMR (CDCl_3 , 470 MHz) δ : -70.30; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{21}\text{H}_{16}\text{F}_3\text{NNaO}_5$ $[\text{M}+\text{Na}]^+$: 442.0873; Found: 442.0876.

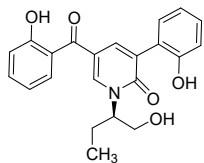


3-(5-(2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-2-oxopyridin-1(2H)-yl)propanenitrile (3ea):

Light yellow solid, m.p. 145.3-146.6 °C; 94.0 mg, yield 87%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 2.99-3.00 (m, 2H), 4.29-4.32 (m, 2H), 6.79-6.83 (m, 1H), 6.87-6.92 (m, 2H), 6.96 (d, *J* = 8.0 Hz, 1H), 7.13-7.17 (m, 1H), 7.24-7.26 (m, 1H), 7.36-7.40 (m, 2H), 7.85 (d, *J* = 2.4 Hz, 1H), 8.35 (d, *J* = 2.4 Hz, 1H), 9.36 (br s, 1H), 10.27 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 17.3, 46.3, 116.7, 117.3, 117.5, 118.7, 119.3, 119.7, 123.6, 124.9, 128.2, 129.7, 130.6, 131.4, 133.4, 139.1, 144.8, 155.4, 156.9, 161.1, 192.5; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₁H₁₆N₂NaO₄ [M+Na]⁺: 383.1002; Found: 383.1009.

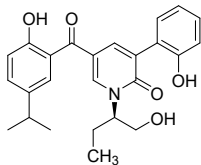


1-ethyl-5-(2-hydroxybenzoyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3fa): Light yellow solid; 92.5 mg, yield 92%; ¹H NMR (CDCl₃, 400 MHz) δ : 1.39-1.43 (m, 3H), 4.12-4.18 (m, 2H), .83-6.90 (m, 2H), 6.96-7.02 (m, 2H), 7.14-7.18 (m, 1H), 7.22-7.26 (m, 1H), 7.43-7.52 (m, 2H), 7.92 (s, 1H), 7.97 (s, 1H), 8.99 (br s, 1H), 11.38 (br s, 1H); ¹³C NMR (CDCl₃, 100 MHz) δ : 14.7, 47.3, 118.7, 118.9, 119.2, 119.5, 119.8, 121.0, 124.3, 130.6, 130.7, 131.1, 131.8, 136.6, 140.2, 140.7, 156.2, 162.5, 162.7, 195.3; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₀H₁₇NNaO₄ [M+Na]⁺: 358.1050; Found: 358.1047.

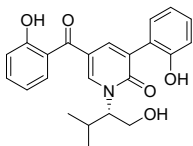


(*R*)-5-(2-hydroxybenzoyl)-1-(1-hydroxybutan-2-yl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one(3ga): Light yellow solid, m.p. 155.2-156.1 °C; 103.5 mg, yield 91%; ¹H NMR (CDCl₃, 400 MHz) δ : 0.87-0.90 (m, 3H), 1.74-1.93 (m, 2H), 2.63 (br s, 1H), 3.85 (d, *J* = 4.0 Hz, 2H), 5.03-5.09 (m, 1H), 6.81-7.01 (m, 4H), 7.15-7.18 (m, 1H), 7.21-7.25 (m, 1H), 7.42-7.46 (m, 1H), 7.52-7.54 (m, 1H), 7.31 (d, *J* = 2.4 Hz, 1H), 8.10 (d, *J* = 2.4 Hz, 1H), 8.88 (br s, 1H), 11.42 (br s, 1H);

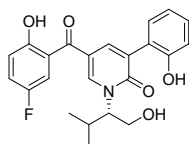
^{13}C NMR (CDCl_3 , 100 MHz) δ : 10.6, 23.1, 53.5, 62.9, 118.7, 118.8, 119.0, 119.2, 119.6, 121.1, 124.5, 130.6, 130.7, 130.9, 131.9, 136.6, 139.6, 140.0, 155.9, 162.7, 163.2, 195.5; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{22}\text{H}_{21}\text{NNaO}_5$ $[\text{M}+\text{Na}]^+$: 402.1312; Found: 402.1317.



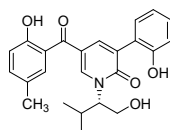
(R)-5-(2-hydroxy-5-isopropylbenzoyl)-1-(1-hydroxybutan-2-yl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3gb): Light yellow solid, m.p. 175.6-176.7 °C; 109.9 mg, yield 87%; ^1H NMR ($\text{DMSO}-d_6$, 400 MHz) δ : 0.85-0.88 (m, 3H), 1.17-1.20 (m, 6H), 1.67-1.74 (m, 1H), 1.81-1.88 (m, 1H), 2.83-2.89 (m, 1H), 3.62-3.65 (m, 1H), 3.73-3.76 (m, 1H), 4.91 (br s, 1H), 5.09 (br s, 1H), 6.84-6.88 (m, 1H), 6.92-6.96 (m, 2H), 7.18-7.22 (m, 1H), 7.28-7.32 (m, 3H), 7.88 (d, $J = 2.4$ Hz, 1H), 8.18 (d, $J = 2.4$ Hz, 1H), 9.41 (br s, 1H), 10.20 (br s, 1H); ^{13}C NMR ($\text{DMSO}-d_6$, 100 MHz) δ : 10.8, 23.3, 24.3, 24.4, 33.0, 61.8, 116.8, 116.9, 117.2, 119.4, 124.3, 124.4, 128.0, 129.6, 131.4, 131.6, 138.0, 139.4, 155.0, 155.5, 161.7, 192.7, two carbons missing in the aromatic region; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{25}\text{H}_{27}\text{NNaO}_5$ $[\text{M}+\text{Na}]^+$: 444.1781; Found: 444.1786.



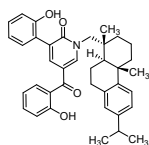
(S)-1-(1-hydroxy-3-methylbutan-2-yl)-5-(2-hydroxybenzoyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3ha): Light yellow solid, m.p. 135.9-136.2 °C; 104.9 mg, yield 89%; ^1H NMR ($\text{DMSO}-d_6$, 400 MHz) δ : 0.77 (d, $J = 7.2$ Hz, 3H), 1.04 (d, $J = 6.4$ Hz, 3H), 2.14 (br s, 1H), 3.64 (d, $J = 10.4$ Hz, 1H), 3.87 (d, $J = 6.4$ Hz, 1H), 4.71 (br s, 1H), 5.05 (br s, 1H), 6.84-6.88 (m, 1H), 6.90-6.97 (m, 2H), 7.00 (d, $J = 8.0$ Hz, 1H), 7.17-7.22 (m, 1H), 7.27-7.30 (m, 1H), 7.38-7.43 (m, 2H), 7.87 (d, $J = 2.8$ Hz, 1H), 8.24 (d, $J = 2.4$ Hz, 1H), 9.40 (br s, 1H), 10.28 (br s, 1H); ^{13}C NMR ($\text{DMSO}-d_6$, 100 MHz) δ : 19.6, 21.2, 28.5, 60.2, 116.8, 116.9, 117.1, 119.4, 119.7, 124.4, 125.2, 127.9, 129.5, 130.4, 131.4, 133.2, 137.9, 155.5, 156.4, 161.9, 170.8, 192.5; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{23}\text{H}_{23}\text{NNaO}_5$ $[\text{M}+\text{Na}]^+$: 416.1468; Found: 416.1472.



(S)-5-(5-fluoro-2-hydroxybenzoyl)-1-(1-hydroxy-3-methylbutan-2-yl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3hb): Light yellow solid, m.p. 126.5-127.2 °C; 111.0 mg, yield 90%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 2.31 (s, 3H), 3.28 (s, 3H), 3.62-3.64 (m, 2H), 4.23-4.26 (m, 2H), 6.77-6.92 (m, 4H), 7.17-7.21 (m, 1H), 7.27-7.30 (m, 1H), 7.35 (d, *J* = 7.6 Hz, 1H), 7.84 (d, *J* = 2.8 Hz, 1H), 8.20 (d, *J* = 2.4 Hz, 1H), 9.41 (br s, 1H), 10.47 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 19.6, 21.1, 28.5, 60.2, 115.9 (d, *J*_{CF} = 24.4 Hz), 116.4, 116.7, 118.1 (d, *J*_{CF} = 7.3 Hz), 119.3, 119.4 (d, *J*_{CF} = 23.3 Hz), 124.3, 126.4 (d, *J*_{CF} = 7.2 Hz), 127.9, 129.5, 131.4, 137.4, 152.0, 155.4, 155.5 (d, *J*_{CF} = 234.5 Hz), 161.8, 170.8, 190.7; ¹⁹F NMR (CDCl₃, 470 MHz) δ: -123.29; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₃H₂₂FNNaO₅ [M+Na]⁺: 434.1374; Found: 434.1374.

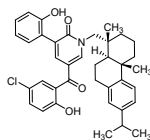


(S)-1-(1-hydroxy-3-methylbutan-2-yl)-5-(2-hydroxy-5-methylbenzoyl)-3-(2-hydroxyphenyl)pyridin-2(1H)-one (3hc): Light yellow solid, m.p. 176.5-177.1 °C; 106.2 mg, yield 87%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 0.78 (d, *J* = 6.8 Hz, 3H), 1.05 (d, *J* = 6.4 Hz, 3H), 2.15 (s, 1H), 2.25 (s, 3H), 3.64 (d, *J* = 12.0 Hz, 1H), 3.87-3.89 (m, 1H), 4.71 (br s, 1H), 5.06 (br s, 1H), 6.83-6.92 (m, 3H), 7.17-7.24 (m, 3H), 7.27-7.30 (m, 1H), 7.86 (d, *J* = 2.8 Hz, 1H), 8.24 (s, 1H), 9.40 (br s, 1H), 10.11 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 19.7, 20.4, 21.2, 28.5, 60.2, 116.8, 116.9, 117.0, 119.4, 124.4, 124.6, 127.8, 128.3, 129.5, 130.7, 131.4, 134.0, 137.9, 154.5, 155.4, 161.8, 192.6, one carbon missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₂₄H₂₅NNaO₅ [M+Na]⁺: 430.1625; Found: 430.1627.

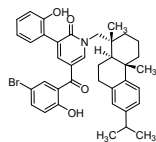


5-(2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(((1R,4aS,10aR)-7-isopropyl-1,4a-dimethyl-

1,2,3,4,4a,9,10,10a-octahydrophenanthren-1-yl)methyl)pyridin-2(1H)-one (3ia): Light yellow solid, m.p. 180.7-181.5 °C; 151.8 mg, yield 88%; ¹H NMR (CDCl₃, 400 MHz) δ: 1.02 (s, 3H), 1.14 (s, 3H), 1.16 (s, 3H), 1.18 (s, 3H), 1.31-1.35 (m, 1H), 1.45 (d, *J* = 10.8 Hz, 1H), 1.51-1.54 (m, 2H), 1.62-1.67 (m, 2H), 1.80-1.89 (m, 1H), 1.96-2.01 (m, 1H), 2.22 (d, *J* = 12.8 Hz, 1H), 2.72-2.91 (m, 3H), 3.81 (d, *J* = 12.8 Hz, 1H), 4.47 (d, *J* = 12.8 Hz, 1H), 6.79-6.82 (m, 2H), 6.88-6.93 (m, 2H), 6.98-7.08 (m, 3H), 7.16-7.18 (m, 1H), 7.24-7.28 (m, 1H), 7.44-7.52 (m, 2H), 7.88-7.93 (m, 2H), 8.83 (br s, 1H), 11.33 (br s, 1H); ¹³C NMR (CDCl₃, 100 MHz) δ: 17.3, 17.4, 18.6, 23.0, 24.7, 28.7, 32.4, 36.0, 36.8, 37.0, 38.8, 45.1, 59.6, 117.5, 117.6, 117.9, 118.1, 118.8, 119.9, 122.9, 123.0, 123.5, 126.0, 129.5, 129.7, 130.3, 130.7, 133.3, 135.6, 139.1, 141.6, 144.9, 145.8, 155.1, 161.6, 162.6, 194.2; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₈H₄₁NNaO₄ [M+Na]⁺: 598.2928; Found: 598.2925.



5-(5-chloro-2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(((1R,4aS,10aR)-7-isopropyl-1,4a-dimethyl-1,2,3,4,4a,9,10,10a-octahydrophenanthren-1-yl)methyl)pyridin-2(1H)-one (3ib): Light yellow solid, m.p. 188.8-189.6 °C; 158.9 mg, yield 87%; ¹H NMR (CDCl₃, 400 MHz) δ: 1.01 (s, 3H), 1.13 (s, 3H), 1.15 (s, 3H), 1.18 (s, 3H), 1.32-1.35 (m, 1H), 1.42 (d, *J* = 12.0 Hz, 1H), 1.53-1.57 (m, 2H), 1.64-1.67 (m, 2H), 1.79-1.87 (m, 1H), 1.97-2.02 (m, 1H), 2.23 (d, *J* = 12.4 Hz, 1H), 2.70-2.93 (m, 3H), 3.73 (d, *J* = 12.4 Hz, 1H), 4.47 (d, *J* = 13.2 Hz, 1H), 6.82 (s, 1H), 6.88-6.92 (m, 2H), 6.95-6.98 (m, 2H), 7.06 (d, *J* = 8.0 Hz, 1H), 7.16-7.19 (m, 1H), 7.22-7.26 (m, 1H), 7.39-7.41 (m, 1H), 7.53 (d, *J* = 2.4 Hz, 1H), 7.84 (d, *J* = 2.4 Hz, 1H), 7.92 (d, *J* = 2.4 Hz, 1H), 8.69 (br s, 1H), 11.14 (br s, 1H); ¹³C NMR (CDCl₃, 100 MHz) δ: 17.2, 17.3, 18.6, 22.9, 24.7, 28.8, 32.4, 36.5, 36.7, 37.0, 38.8, 45.5, 60.1, 116.7, 118.3, 118.8, 119.5, 120.0, 122.8, 122.9, 123.0, 123.3, 125.9, 129.6, 129.7, 129.8, 130.9, 133.3, 135.3, 138.7, 142.2, 144.9, 145.8, 155.0, 159.9, 162.6, 192.9; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₈H₄₀ClNNaO₄ [M+Na]⁺: 632.2534; Found: 632.2530.



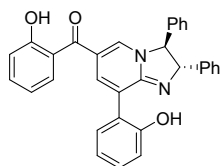
5-(5-bromo-2-hydroxybenzoyl)-3-(2-hydroxyphenyl)-1-(((1R,4aS,10aR)-7-isopropyl-1,4a-dimethyl-1,2,3,4,4a,9,10,10a-octahydrophenanthren-1-yl)methyl)pyridin-2(1H)-one (3ic):

Light yellow solid, m.p. 176.5-176.7 °C; 164.6 mg, yield 84%; ¹H NMR (CDCl₃, 400 MHz) δ: 1.02 (s, 3H), 1.13 (s, 3H), 1.15 (s, 3H), 1.18 (s, 3H), 1.35-1.38 (m, 1H), 1.43 (d, *J* = 12.0 Hz, 1H), 1.51-1.58 (m, 2H), 1.65-1.71 (m, 2H), 1.81-1.87 (m, 1H), 1.98-2.03 (m, 1H), 2.24 (d, *J* = 12.8 Hz, 1H), 2.71-2.91 (m, 3H), 3.73 (d, *J* = 13.2 Hz, 1H), 4.49 (d, *J* = 13.2 Hz, 1H), 6.82 (s, 1H), 6.89-6.97 (m, 4H), 7.06 (d, *J* = 8.4 Hz, 1H), 7.17-7.20 (m, 1H), 7.23-7.27 (m, 1H), 7.52-7.55 (m, 1H), 7.69 (d, *J* = 2.4 Hz, 1H), 7.85 (d, *J* = 2.4 Hz, 1H), 7.94 (d, *J* = 2.4 Hz, 1H), 8.68 (br s, 1H), 11.16 (br s, 1H); ¹³C NMR (CDCl₃, 100 MHz) δ: 17.2, 17.3, 18.6, 22.9, 24.7, 28.8, 32.4, 36.5, 36.7, 37.0, 38.8, 45.5, 60.2, 109.6, 118.8, 119.9, 120.0, 122.9, 125.9, 129.7, 129.8, 132.5, 133.3, 138.0, 142.2, 155.0, 160.3, 162.6, 192.8, eight carbons missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₈H₄₀BrNNaO₄ [M+Na]⁺: 676.2033; Found: 676.2035.

9. Synthesis of chiral imidazoles 4 by reaction of 1,2-diphenylethylenediamine 2

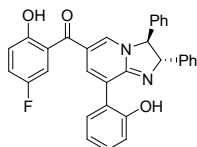
In a sealed tube equipped with a magnetic stirring bar, to 1.5 mL of EtOH was added 3-vinyl benzofuranone-chromone **1** (0.4 mmol) and the 1,2-diphenylethylenediamine **2** (0.3 mmol). The reaction mixture was stirred at rt for 5 h. After completion of the reaction, as indicated by TLC, the reaction product was filtered, washed with EtOH to afford pure product **4**.

10. Characterization data of chiral imidazoles 4

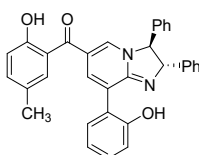


(2-hydroxyphenyl)((2S,3S)-8-(2-hydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-a]pyridin-6-yl)methanone (4a): Light yellow solid, m.p. >300.0 °C; 124.9 mg, yield 86%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 5.25 (d, *J* = 7.6 Hz, 1H), 5.60 (d, *J* = 7.6 Hz, 1H), 6.87-6.99 (m, 4H), 7.29-7.55 (m, 14H), 7.67 (s, 1H), 7.72 (s, 1H), 10.19 (br s, 1H), 10.83 (br s, 1H); ¹³C NMR

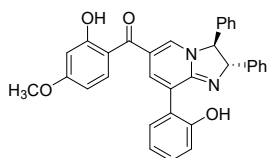
(DMSO-*d*₆, 100 MHz) δ : 72.9, 76.4, 116.9, 117.7, 119.2, 119.5, 120.2, 123.5, 124.1, 125.6, 127.0, 127.3, 128.2, 129.3, 129.9, 130.1, 130.3, 130.5, 132.8, 136.6, 140.1, 142.8, 156.0, 156.5, 156.7, 191.0, six carbons missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₂H₂₅N₂O₃ [M+H]⁺: 485.1860; Found: 485.1856.



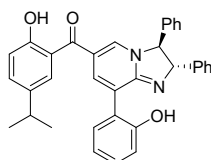
(5-fluoro-2-hydroxyphenyl)((2*S*,3*S*)-8-(2-hydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-*a*]pyridin-6-yl)methanone (4b): Light yellow solid, m.p. >300.0 °C; 105.4 mg, yield 70%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 5.20 (d, *J* = 8.0 Hz, 1H), 5.54 (d, *J* = 7.2 Hz, 1H), 6.85-6.94 (m, 3H), 7.05-7.08 (m, 1H), 7.12-7.17 (m, 1H), 7.24-7.51 (m, 12H), 7.65 (s, 1H), 7.66 (s, 1H), 10.05 (br s, 1H), 10.66 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 72.8, 76.4, 115.8 (d, *J*_{CF} = 23.3 Hz), 117.3, 118.0 (d, *J*_{CF} = 8.5 Hz), 119.0 (d, *J*_{CF} = 22.1 Hz), 120.2, 123.5, 124.0, 127.0, 127.2, 128.2, 129.3, 129.9, 130.3, 130.6, 136.2, 140.2, 141.2, 142.8, 151.8, 155.4 (d, *J*_{CF} = 238.4 Hz), 156.4, 189.3, eight carbons missing in the aromatic region; ¹⁹F NMR (CDCl₃, 470 MHz) δ : -125.26; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₂H₂₄FN₂O₃ [M+H]⁺: 503.1765; Found: 503.1771.



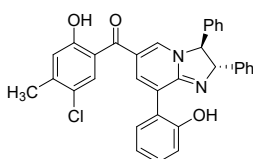
(2-hydroxy-5-methylphenyl)((2*S*,3*S*)-8-(2-hydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-*a*]pyridin-6-yl)methanone (4c): Light yellow solid, m.p. >300.0 °C; 112.1 mg, yield 75%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ : 2.14 (s, 3H), 5.23 (d, *J* = 7.6 Hz, 1H), 5.54 (d, *J* = 7.6 Hz, 1H), 6.78 (d, *J* = 8.4 Hz, 1H), 6.88 (d, *J* = 8.0 Hz, 1H), 6.91-6.95 (m, 1H), 7.02 (s, 1H), 7.11-7.13 (m, 1H), 7.24-7.51 (m, 12H), 7.61 (s, 1H), 7.68 (s, 1H), 9.95 (br s, 1H), 10.81 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ : 20.3, 72.9, 76.4, 116.9, 117.6, 119.2, 120.2, 123.5, 124.1, 125.0, 127.0, 127.4, 128.1, 128.2, 129.3, 129.9, 130.3, 130.6, 133.6, 136.6, 140.0, 140.8, 142.8, 154.1, 156.5, 156.8, 191.1, six carbons missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₃H₂₇N₂O₃ [M+H]⁺: 499.2016; Found: 499.2019.



(2-hydroxy-4-methoxyphenyl)((2*S*,3*S*)-8-(2-hydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-*a*]pyridin-6-yl)methanone (4d): Light yellow solid, m.p. 238.1-238.7 °C; 134.2 mg, yield 87%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 3.58 (s, 3H), 5.22 (d, *J* = 7.6 Hz, 1H), 5.55 (d, *J* = 7.2 Hz, 1H), 6.78-6.84 (m, 2H), 6.88-6.96 (m, 3H), 7.25-7.52 (m, 12H), 7.68-7.72 (m, 2H), 9.74 (br s, 1H), 10.75 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 55.9, 72.9, 76.5, 113.7, 117.6, 118.0, 119.2, 119.5, 120.2, 123.6, 124.1, 125.4, 127.0, 127.4, 128.2, 129.3, 129.9, 130.3, 130.5, 140.1, 140.9, 142.8, 149.9, 152.2, 156.5, 156.8, 190.6, six carbons missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₃H₂₇N₂O₄ [M+H]⁺: 515.1965; Found: 515.1962.

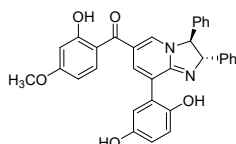


(2-hydroxy-5-isopropylphenyl)((2*S*,3*S*)-8-(2-hydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-*a*]pyridin-6-yl)methanone (4e): Light yellow solid, m.p. 218.9-220.1 °C; 112.0 mg, yield 71%; ¹H NMR (DMSO-*d*₆, 400 MHz) δ: 1.04-1.08 (m, 6H), 2.69-2.76 (m, 1H), 5.24 (d, *J* = 8.0 Hz, 1H), 5.54 (d, *J* = 8.0 Hz, 1H), 6.84 (d, *J* = 8.4 Hz, 1H), 6.90 (d, *J* = 8.0 Hz, 1H), 6.95 (d, *J* = 7.6 Hz, 1H), 7.07 (d, *J* = 2.0 Hz, 1H), 7.19-7.22 (m, 1H), 7.28-7.53 (m, 12H), 7.61 (s, 1H), 7.74 (s, 1H), 10.16 (br s, 1H), 10.73 (br s, 1H); ¹³C NMR (DMSO-*d*₆, 100 MHz) δ: 24.3, 32.8, 73.0, 76.5, 117.1, 117.6, 119.2, 120.2, 123.7, 124.1, 124.5, 127.1, 127.5, 128.2, 129.3, 129.4, 129.9, 130.3, 130.5, 131.1, 136.5, 139.2, 139.9, 140.9, 142.7, 154.6, 156.5, 156.8, 191.2, five carbons missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₅H₃₁N₂O₃ [M+H]⁺: 527.2329; Found: 527.2334.

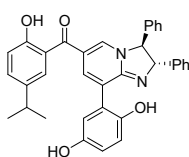


(5-chloro-2-hydroxy-4-methylphenyl)((2*S*,3*S*)-8-(2-hydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-*a*]pyridin-6-yl)methanone (4f): Light yellow solid, m.p. >300.0 °C; 111.7

mg, yield 70%; ^1H NMR (DMSO- d_6 , 400 MHz) δ : 2.25 (s, 3H), 5.20 (d, $J = 7.2$ Hz, 1H), 5.55 (d, $J = 7.2$ Hz, 1H), 6.85-6.95 (m, 3H), 7.25-7.39 (m, 10H), 7.43-7.51 (m, 3H), 7.68 (d, $J = 4.0$ Hz, 2H), 10.51 (br s, 2H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 20.3, 72.9, 76.4, 117.6, 119.1, 119.4, 120.2, 123.5, 123.6, 124.0, 125.1, 127.0, 127.2, 128.3, 129.1, 129.3, 129.9, 130.3, 130.6, 132.0, 140.2, 141.0, 142.8, 154.7, 156.4, 156.7, 189.2, six carbons missing in the aromatic region; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{33}\text{H}_{26}\text{ClN}_2\text{O}_3$ $[\text{M}+\text{H}]^+$: 533.1626; Found: 533.1631.

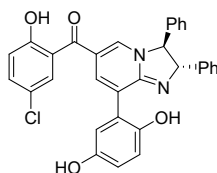


((2S,3S)-8-(2,5-dihydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-a]pyridin-6-yl)(2-hydroxy-4-methoxyphenyl)methanone (4g): Light yellow solid, m.p. 224.3-225.1 °C; 112.9 mg, yield 71%; ^1H NMR (DMSO- d_6 , 400 MHz) δ : 3.59 (s, 3H), 5.21 (d, $J = 7.6$ Hz, 1H), 5.55 (d, $J = 7.2$ Hz, 1H), 6.71-6.72 (m, 2H), 6.77 (d, $J = 2.8$ Hz, 1H), 6.81 (d, $J = 8.8$ Hz, 1H), 6.89-6.91 (m, 2H), 7.27-7.50 (m, 10H), 7.67 (s, 2H), 8.96 (br s, 1H), 9.67 (br s, 2H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 55.9, 72.8, 76.5, 113.7, 116.1, 117.5, 117.6, 118.0, 119.4, 120.1, 123.8, 124.5, 125.5, 127.0, 127.3, 128.2, 129.1, 129.3, 129.9, 132.0, 132.2, 136.4, 140.1, 140.9, 142.8, 148.9, 149.8, 150.8, 152.2, 156.6, 190.6, two carbons missing in the aromatic region; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{33}\text{H}_{27}\text{N}_2\text{O}_5$ $[\text{M}+\text{H}]^+$: 531.1914; Found: 531.1912.

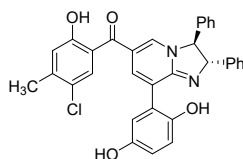


((2S,3S)-8-(2,5-dihydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-a]pyridin-6-yl)(2-hydroxy-5-isopropylphenyl)methanone (4h): Light yellow solid, m.p. 209.3-211.2 °C; 115.4 mg, yield 71%; ^1H NMR (DMSO- d_6 , 400 MHz) δ : 1.03-1.07 (m, 6H), 2.68-2.75 (m, 1H), 5.23 (d, $J = 8.0$ Hz, 1H), 5.53 (d, $J = 8.0$ Hz, 1H), 6.70-6.75 (m, 2H), 6.83 (d, $J = 8.4$ Hz, 1H), 6.91 (s, 1H), 7.06 (s, 1H), 7.18-7.21 (m, 1H), 7.27-7.49 (m, 10H), 7.60 (s, 1H), 7.71 (s, 1H), 9.00 (br s, 1H), 10.01 (br s, 2H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 24.3, 32.8, 73.0, 76.5, 116.1, 117.1, 117.5, 117.6, 120.2, 123.9, 124.5, 127.0, 127.5, 128.2, 129.1, 129.3, 129.4, 129.9, 131.1, 132.0, 136.4, 139.2, 139.9, 140.9, 142.7, 149.0, 150.8, 154.6, 156.6, 191.2, four carbons missing in the aromatic

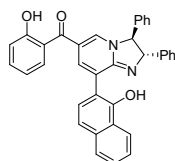
region; HRMS (ESI-TOF) m/z : Calcd. for $C_{35}H_{31}N_2O_4$ $[M+H]^+$: 543.2278; Found: 543.2272.



(5-chloro-2-hydroxyphenyl)((2S,3S)-8-(2,5-dihydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-a]pyridin-6-yl)methanone (4i): Light yellow solid, m.p. 284.2-285.5 °C; 121.8 mg, yield 76%; 1H NMR (DMSO- d_6 , 400 MHz) δ : 5.22 (d, $J = 7.2$ Hz, 1H), 5.55 (d, $J = 7.2$ Hz, 1H), 6.71-6.75 (m, 2H), 6.90 (d, $J = 8.4$ Hz, 2H), 7.25-7.50 (m, 12H), 7.65-7.67 (m, 2H), 9.00 (br s, 1H), 10.12 (br s, 2H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 72.8, 76.4, 116.1, 117.5, 117.6, 118.6, 120.1, 123.1, 123.8, 124.4, 127.0, 127.2, 127.7, 128.3, 129.1, 129.3, 129.9, 132.0, 136.1, 140.1, 141.2, 142.7, 148.9, 150.8, 154.5, 156.6, 189.2, five carbons missing in the aromatic region; HRMS (ESI-TOF) m/z : Calcd. for $C_{32}H_{24}ClN_2O_4$ $[M+H]^+$: 535.1419; Found: 535.1414.



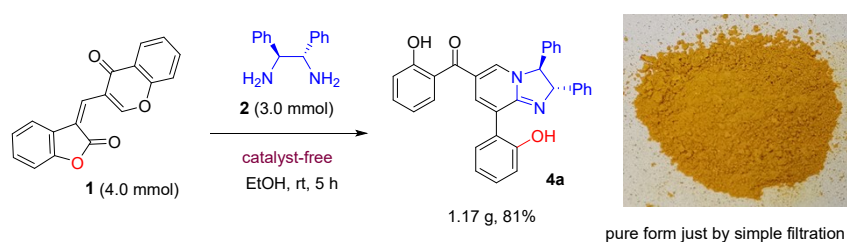
(5-chloro-2-hydroxy-4-methylphenyl)((2S,3S)-8-(2,5-dihydroxyphenyl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-a]pyridin-6-yl)methanone (4j): Light yellow solid, m.p. >300.0 °C; 126.6 mg, yield 77%; 1H NMR (DMSO- d_6 , 400 MHz) δ : 2.25 (s, 3H), 5.20 (d, $J = 7.6$ Hz, 1H), 5.54 (d, $J = 7.2$ Hz, 1H), 6.71 (s, 2H), 6.85 (d, $J = 12.4$ Hz, 2H), 7.25-7.50 (m, 11H), 7.64 (s, 1H), 7.68 (s, 1H), 8.95 (br s, 1H), 10.10 (br s, 2H); ^{13}C NMR (DMSO- d_6 , 100 MHz) δ : 20.3, 72.8, 76.4, 116.1, 117.5, 117.6, 119.4, 120.1, 123.6, 123.7, 124.5, 125.1, 127.0, 127.2, 128.3, 129.1, 129.3, 129.8, 129.9, 132.0, 136.4, 140.1, 140.2, 141.0, 142.8, 148.9, 150.8, 154.7, 156.6, 189.2, three carbons missing in the aromatic region; HRMS (ESI-TOF) m/z : Calcd. for $C_{33}H_{26}ClN_2O_4$ $[M+H]^+$: 549.1576; Found: 549.1574.



((2S,3S)-8-(1-hydroxynaphthalen-2-yl)-2,3-diphenyl-2,3-dihydroimidazo[1,2-a]pyridin-6-

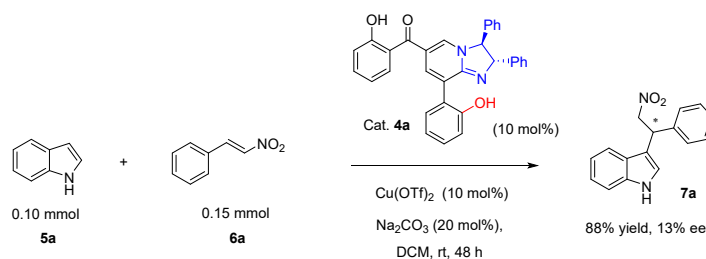
yl)(2-hydroxyphenyl)methanone (4k): Light yellow solid, m.p. >300.0 °C; 115.3 mg, yield 72%; ¹H NMR (CDCl₃, 400 MHz) δ: 5.15 (d, *J* = 8.4 Hz, 1H), 5.38 (d, *J* = 8.4 Hz, 1H), 6.70-6.74 (m, 1H), 6.95 (d, *J* = 8.0 Hz, 1H), 7.20-7.32 (m, 9H), 7.36-7.44 (m, 9H), 7.71 (d, *J* = 7.6 Hz, 1H), 7.80 (s, 1H), 8.39 (d, *J* = 8.0 Hz, 1H), 11.36 (br s, 1H); ¹³C NMR (CDCl₃, 100 MHz) δ: 73.9, 75.5, 117.6, 117.9, 119.1, 123.2, 124.4, 125.4, 126.0, 126.1, 126.2, 126.3, 127.1, 128.1, 128.6, 128.9, 130.2, 133.9, 135.0, 136.1, 152.8, 156.6, 161.2, 193.5, eight carbons missing in the aromatic region; HRMS (ESI-TOF) *m/z*: Calcd. for C₃₆H₂₇N₂O₃ [M+H]⁺: 535.2016; Found: 535.2018.

11. Gram scale synthesis of the product 4a



In a sealed tube equipped with a magnetic stirring bar, to 15 mL of EtOH was added 3-vinyl benzofuranone-chromone **1** (4.0 mmol) and the chiral 1,2-diphenylethylenediamine **2** (3.0 mmol). The reaction mixture was stirred at rt for 5 h. After completion of the reaction, as indicated by TLC, the reaction product was filtered, washed with EtOH to afford pure product **4a** (1.17 g, 81% yield).

12. Application of optically active imidazole derivative 4a

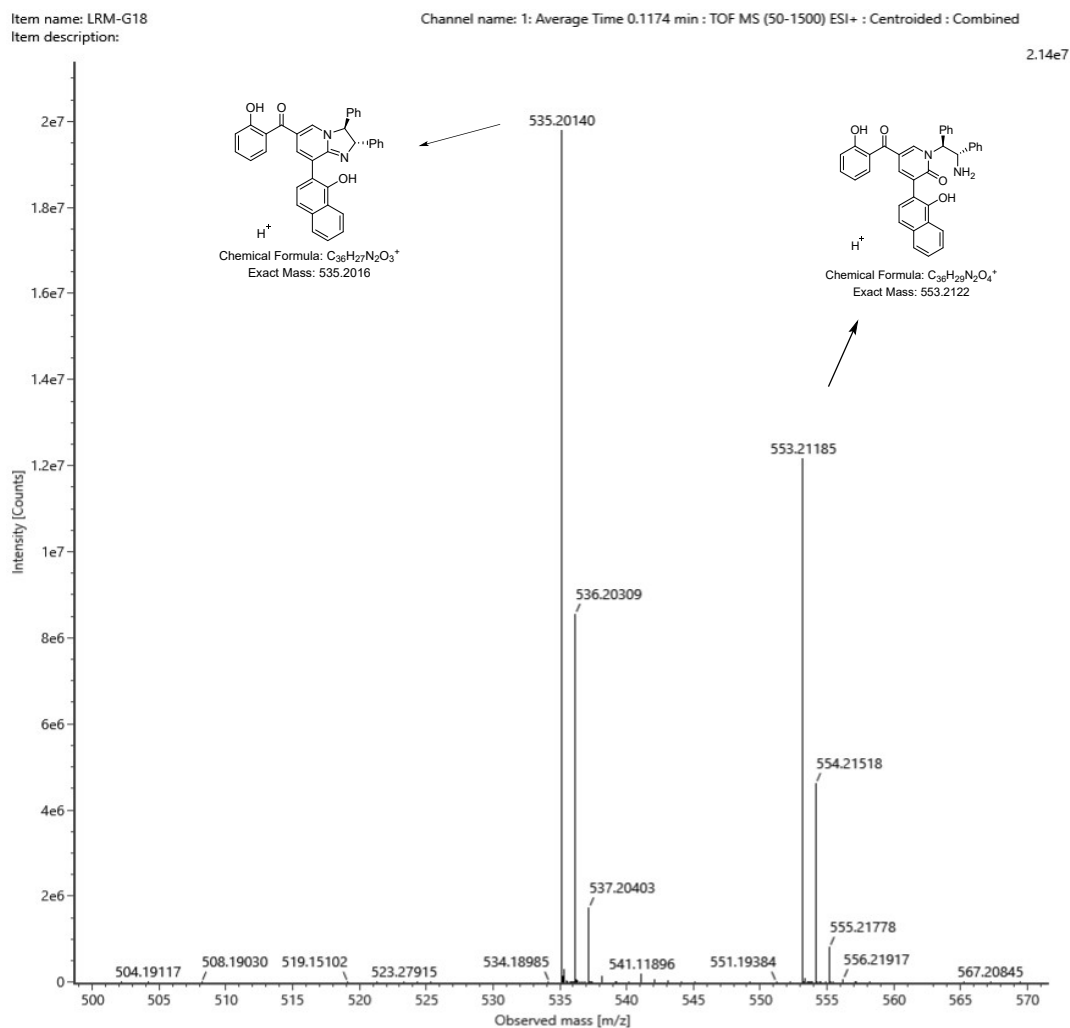
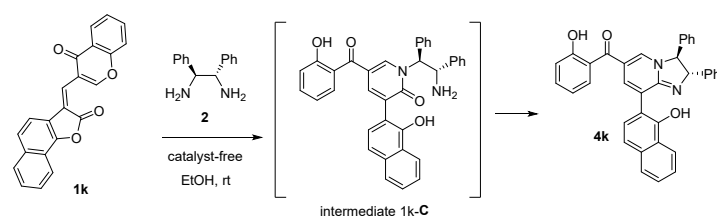


A mixture of **5a** (0.10 mmol), **6a** (0.15 mmol), **4a** (10 mol%, 0.01 mmol) and Na₂CO₃ (20 mol%, 0.02 mmol) in 1.0 mL of DCM was stirred at reflux for 48 h. After completion of the reaction, as indicated by TLC, the mixture was purified by flash chromatography (hexane/EtOAc, 8/1, v/v) to afford the corresponding product **7a** (23.4 mg, 88% yield, 13% ee).

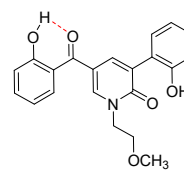
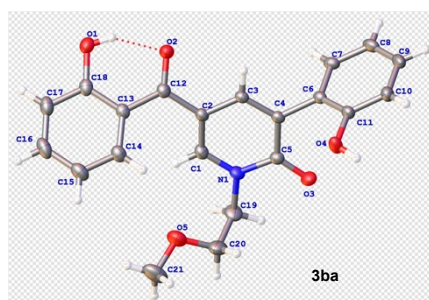
3-(2-nitro-1-phenylethyl)-1H-indole (7a): ¹H NMR (CDCl₃, 400 MHz) δ: 4.77-4.82 (m, 1H),

4.89-4.94 (m, 1H), 5.04-5.08 (m, 1H), 6.82 (d, $J = 2.0$ Hz, 1H), 6.94-6.98 (m, 1H), 7.06-7.10 (m, 1H), 7.13-7.16 (m, 1H), 7.17-7.22 (m, 5H), 7.33 (d, $J = 8.0$ Hz, 1H), 7.89 (br s, 1H); ^{13}C NMR (CDCl_3 , 100 MHz) δ : 40.5, 78.5, 110.4, 113.2, 117.8, 118.9, 120.6, 121.6, 125.0, 126.5, 126.7, 127.9, 135.4, 138.2, two carbons missing in the aromatic region; HRMS (ESI-TOF) m/z : Calcd. for $\text{C}_{16}\text{H}_{14}\text{N}_2\text{NaO}_2$ $[\text{M}+\text{Na}]^+$: 289.0947; Found: 289.0953; The chiral column and the method for HPLC analysis: using a Chiralpak IC column (85/15 hexane/*i*-PrOH; flow rate: 1.0 mL/min; $\lambda = 254$ nm; $\tau = 6.41$ min; $\tau = 7.34$ min).

13. Figure S1: new species detected by ESI-MS analysis.



14. X-ray crystal data for compounds 3ba, 4c and 4e



3ba

Table S2 Crystal data and structure refinement for 3ba

Identification code	3ba
Empirical formula	C ₂₁ H ₁₉ NO ₅
Formula weight	365.37
Temperature/K	199.99(10)
Crystal system	orthorhombic
Space group	Pca2 ₁
a/Å, b/Å, c/Å	29.9557(10), 7.3668(2), 8.1504(3)
α/°, β/°, γ/°	90, 90, 90.
Volume/Å ³	1798.61(10)
Z	4
ρ _{calc} /cm ³	1.349
μ/mm ⁻¹	0.799
F(000)	768.0
Radiation	Cu Kα (λ = 1.54184)
Crystal size/mm ³	0.14 × 0.11 × 0.09
2θ range for data collection/°	5.9 to 146.848
Index ranges	-33 ≤ h ≤ 36, -9 ≤ k ≤ 7, -9 ≤ l ≤ 5
Reflections collected	4152
Independent reflections	2419 [R _{int} = 0.0246, R _{sigma} = 0.0270]
Data/restraints/parameters	2419/1/257
Goodness-of-fit on F ²	1.072
Final R indexes [I ≥ 2σ(I)]	R ₁ = 0.0355, wR ₂ = 0.0915
Final R indexes [all data]	R ₁ = 0.0400, wR ₂ = 0.0943
Largest diff. peak/hole / e Å ⁻³	0.21/-0.15
Flack parameter	0.2(4)

Crystal Data for C₂₁H₁₉NO₅ (*M* = 365.37 g/mol): orthorhombic, space group Pca2₁ (no. 29), *a* = 29.9557(10) Å, *b* = 7.3668(2) Å, *c* = 8.1504(3) Å, *V* = 1798.61(10) Å³, *Z* = 4, *T* = 199.99(10) K, μ(Cu Kα) = 0.799 mm⁻¹, *D*_{calc} = 1.349 g/cm³, 4152 reflections measured (5.9° ≤ 2θ ≤ 146.848°), 2419 unique (*R*_{int} = 0.0246, *R*_{sigma} = 0.0270) which were used in all calculations. The final *R*₁ was 0.0355 (*I* > 2σ(*I*)) and *wR*₂ was 0.0943 (all data).

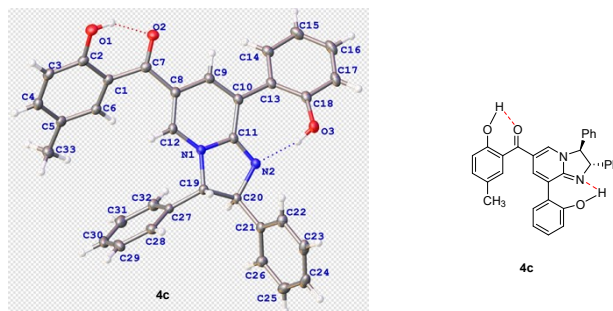


Table S3 Crystal data and structure refinement for 4c

Identification code	4c
Empirical formula	$C_{33}H_{26}N_2O_3$
Formula weight	498.56
Temperature/K	179.99(10)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
$a/\text{\AA}$, $b/\text{\AA}$, $c/\text{\AA}$	8.58570(10), 11.06500(10), 26.4839(2)
$\alpha/^\circ$, $\beta/^\circ$, $\gamma/^\circ$,	90, 90, 90
Volume/ \AA^3	2515.99(4)
Z	4
$\rho_{\text{calc}}/\text{cm}^3$	1.316
μ/mm^{-1}	0.674
F(000)	1048.0
Radiation	Cu $K\alpha$ ($\lambda = 1.54184$)
Crystal size/ mm^3	$0.14 \times 0.11 \times 0.09$
2θ range for data collection/ $^\circ$	6.676 to 147.754
Index ranges	$-10 \leq h \leq 10$, $-13 \leq k \leq 13$, $-33 \leq l \leq 32$
Reflections collected	34007
Independent reflections	5079 [$R_{\text{int}} = 0.0432$, $R_{\text{sigma}} = 0.0204$]
Data/restraints/parameters	5079/0/347
Goodness-of-fit on F^2	1.056
Final R indexes [$I \geq 2\sigma(I)$]	$R_1 = 0.0285$, $wR_2 = 0.0758$
Final R indexes [all data]	$R_1 = 0.0290$, $wR_2 = 0.0764$
Largest diff. peak/hole / $e \text{\AA}^{-3}$	0.21/-0.14
Flack/Hoof parameter	-0.01(6)/-0.01(6)

Crystal Data for $C_{33}H_{26}N_2O_3$ ($M = 498.56$ g/mol): orthorhombic, space group $P2_12_12_1$ (no. 19), $a = 8.58570(10)$ \AA , $b = 11.06500(10)$ \AA , $c = 26.4839(2)$ \AA , $V = 2515.99(4)$ \AA^3 , $Z = 4$, $T = 179.99(10)$ K, $\mu(\text{Cu } K\alpha) = 0.674$ mm^{-1} , $D_{\text{calc}} = 1.316$ g/cm^3 , 34007 reflections measured ($6.676^\circ \leq 2\theta \leq 147.754^\circ$), 5079 unique ($R_{\text{int}} = 0.0432$, $R_{\text{sigma}} = 0.0204$) which were used in all calculations. The final R_1 was 0.0285 ($I > 2\sigma(I)$) and wR_2 was 0.0764 (all data).

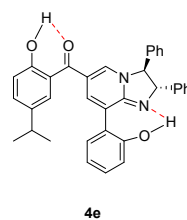
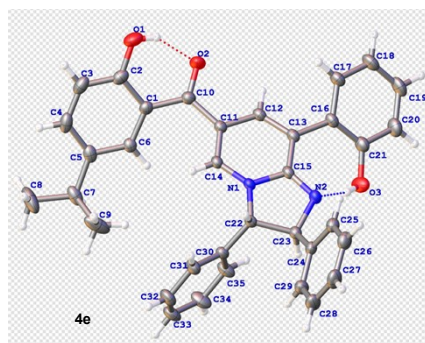


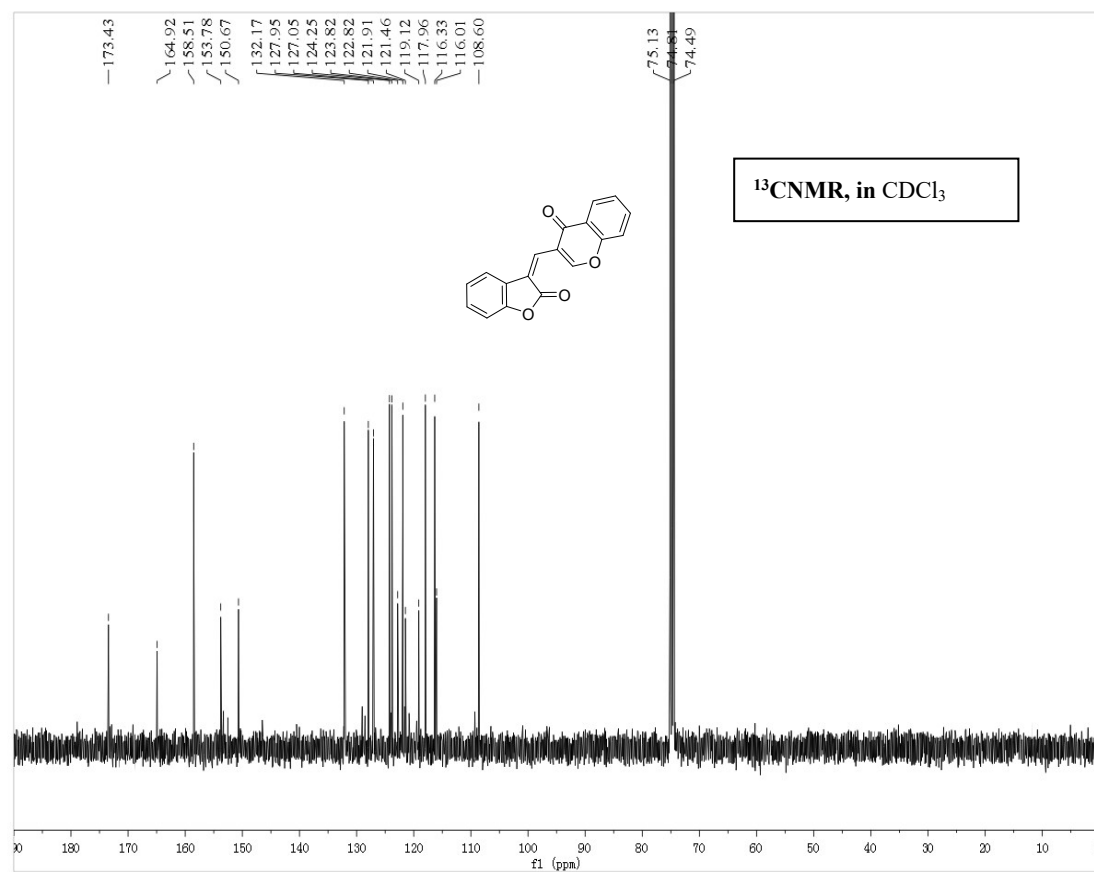
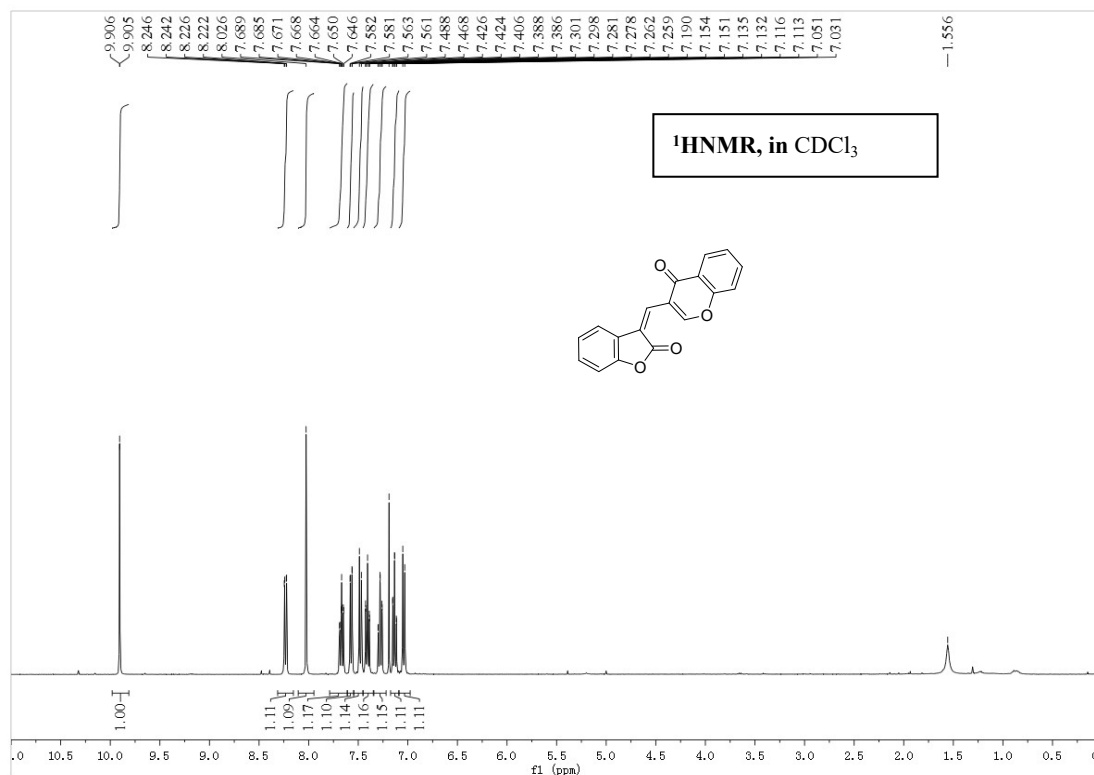
Table S4 Crystal data and structure refinement for 4e

Identification code	4e
Empirical formula	$C_{35}H_{30}N_2O_3$
Formula weight	526.61
Temperature/K	199.99(10)
Crystal system	monoclinic
Space group	$P2_1$
$a/\text{\AA}$, $b/\text{\AA}$, $c/\text{\AA}$	11.5600(2), 7.67541(19), 16.0245(3)
$\alpha/^\circ$, $\beta/^\circ$, $\gamma/^\circ$,	90, 105.743(2), 90
Volume/ \AA^3	1368.48(5)
Z	2
$\rho_{\text{calc}}/\text{g/cm}^3$	1.278
μ/mm^{-1}	0.647
$F(000)$	556.0
Radiation	Cu $K\alpha$ ($\lambda = 1.54184$)
Crystal size/ mm^3	$0.15 \times 0.13 \times 0.12$
2θ range for data collection/ $^\circ$	5.73 to 148.182
Index ranges	$-14 \leq h \leq 13$, $-9 \leq k \leq 8$, $-19 \leq l \leq 19$
Reflections collected	16343
Independent reflections	5186 [$R_{\text{int}} = 0.0253$, $R_{\text{sigma}} = 0.0198$]
Data/restraints/parameters	5186/1/366
Goodness-of-fit on F^2	1.059
Final R indexes [$I \geq 2\sigma(I)$]	$R_1 = 0.0326$, $wR_2 = 0.0881$
Final R indexes [all data]	$R_1 = 0.0331$, $wR_2 = 0.0889$
Largest diff. peak/hole / $e \text{\AA}^{-3}$	0.14/-0.15
Flack/Hooft parameter	0.14(9)/0.17(7)

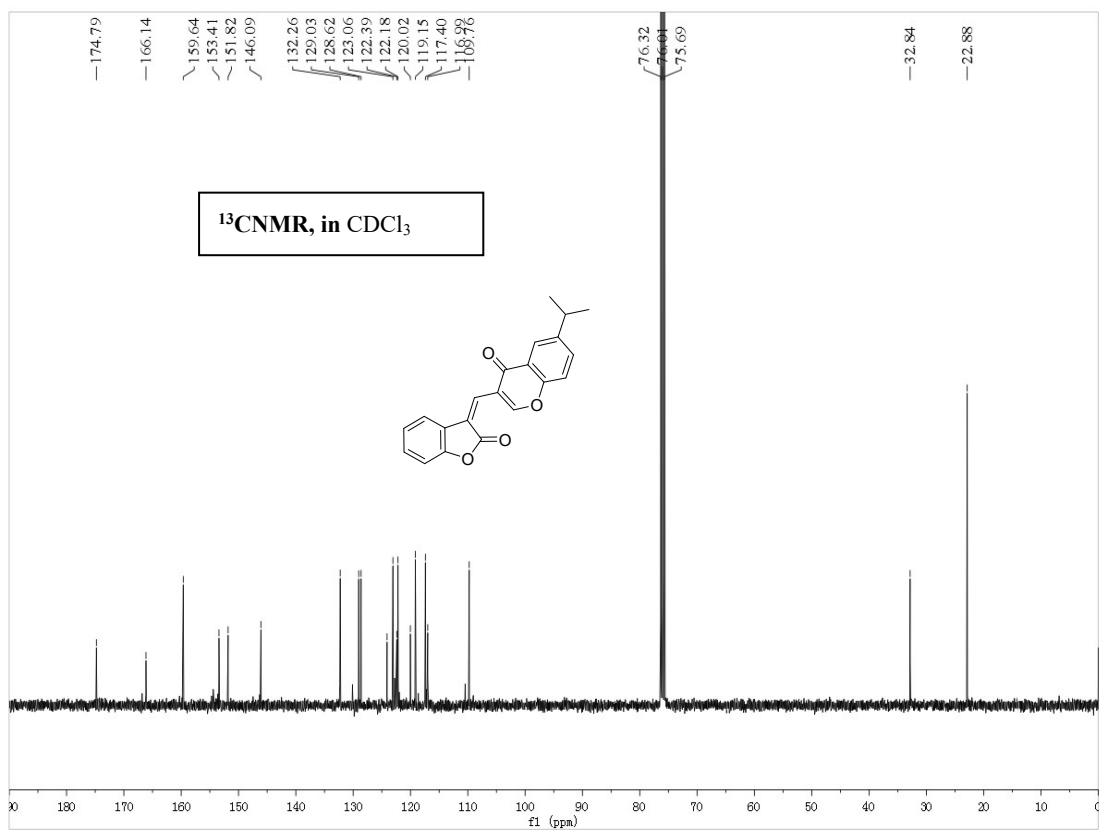
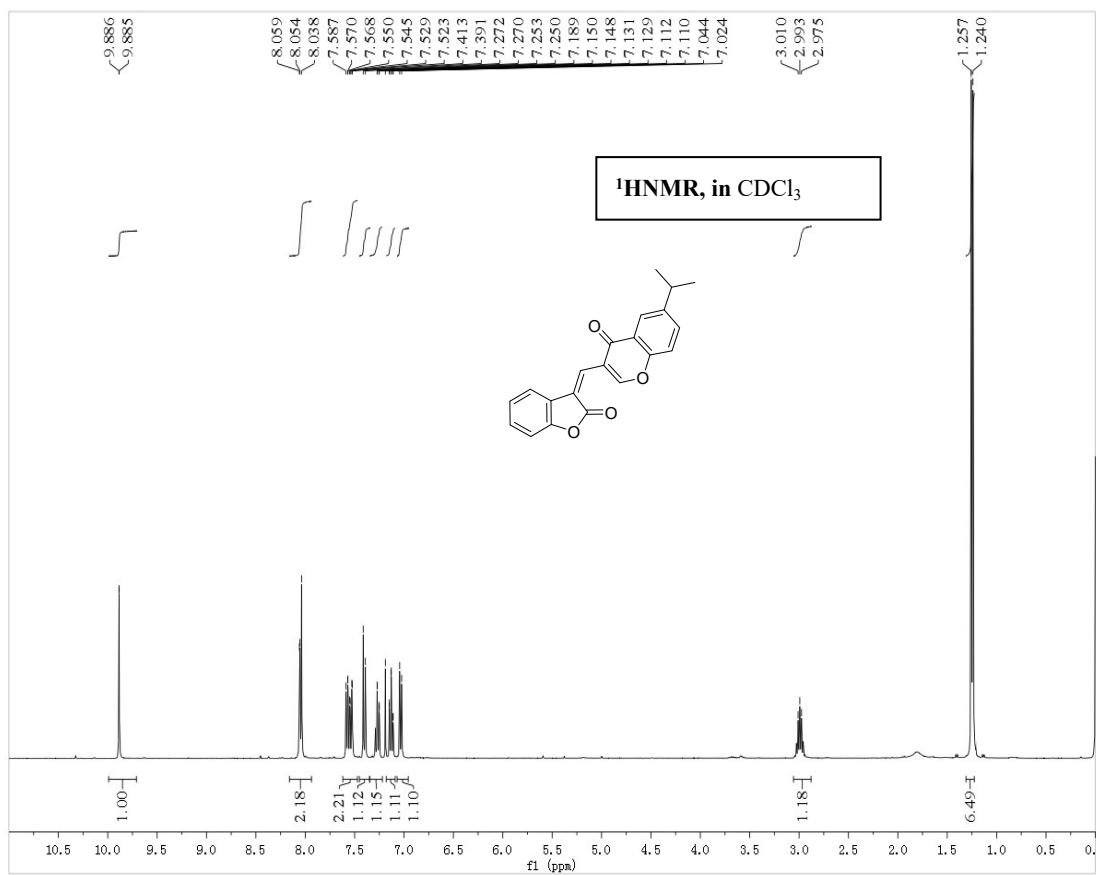
Crystal Data for $C_{35}H_{30}N_2O_3$ ($M = 526.61$ g/mol): monoclinic, space group $P2_1$ (no. 4), $a = 11.5600(2)$ \AA , $b = 7.67541(19)$ \AA , $c = 16.0245(3)$ \AA , $\beta = 105.743(2)^\circ$, $V = 1368.48(5)$ \AA^3 , $Z = 2$, $T = 199.99(10)$ K, $\mu(\text{Cu } K\alpha) = 0.647$ mm^{-1} , $D_{\text{calc}} = 1.278$ g/cm^3 , 16343 reflections measured ($5.73^\circ \leq 2\theta \leq 148.182^\circ$), 5186 unique ($R_{\text{int}} = 0.0253$, $R_{\text{sigma}} = 0.0198$) which were used in all calculations. The final R_1 was 0.0326 ($I > 2\sigma(I)$) and wR_2 was 0.0889 (all data).

15. The copies of ^1H NMR and ^{13}C NMR spectra for compounds 1, 3, 4 and 7a

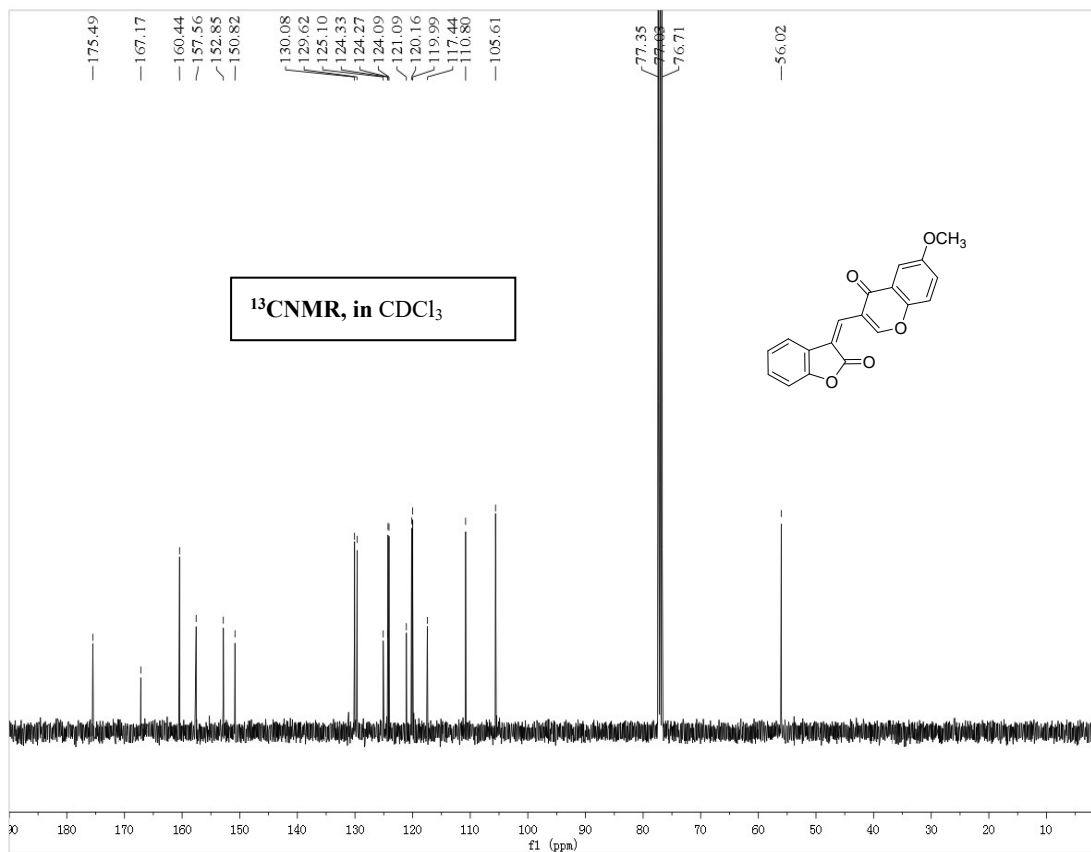
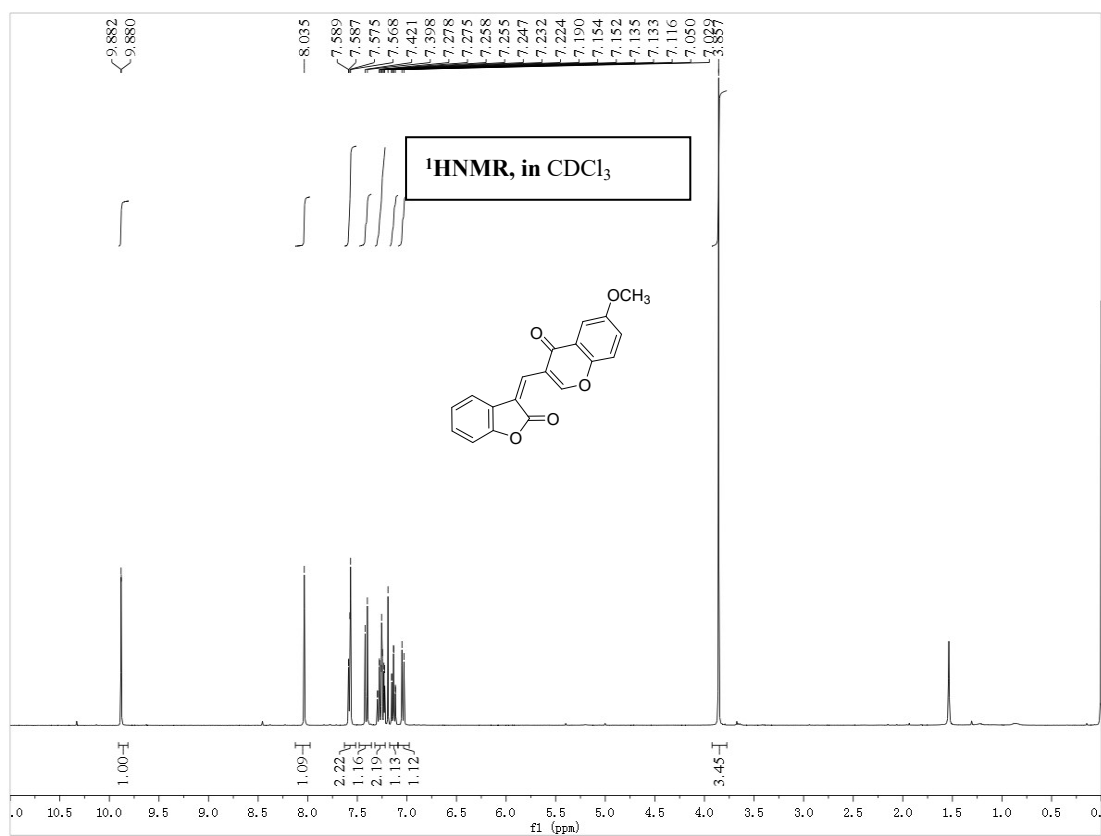
^1H and ^{13}C NMR of 1a



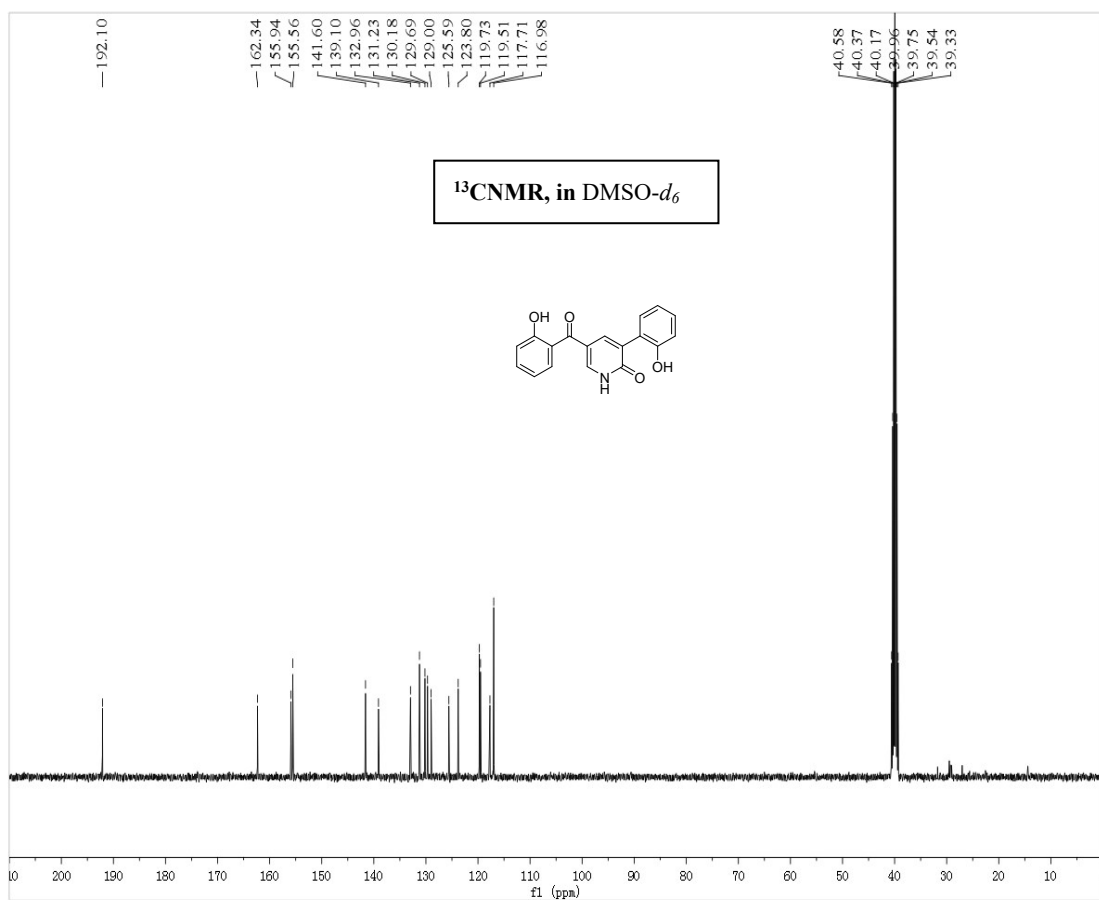
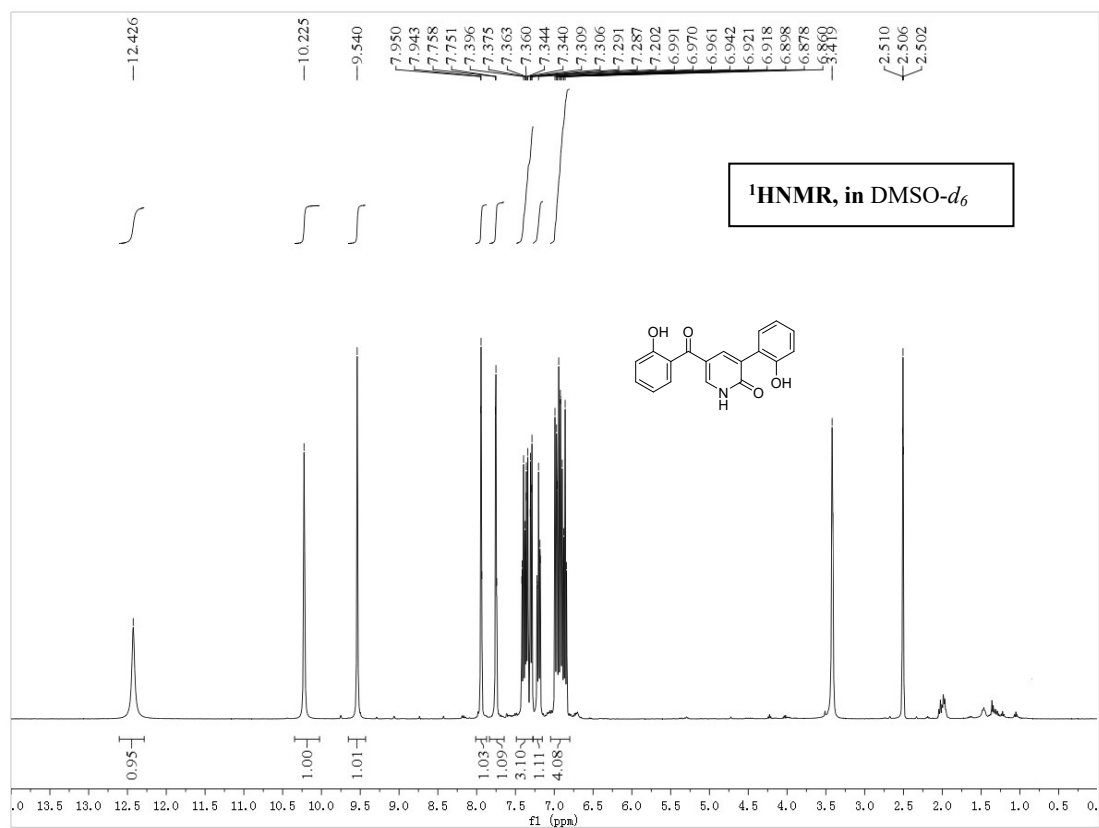
¹H and ¹³C NMR of 1b



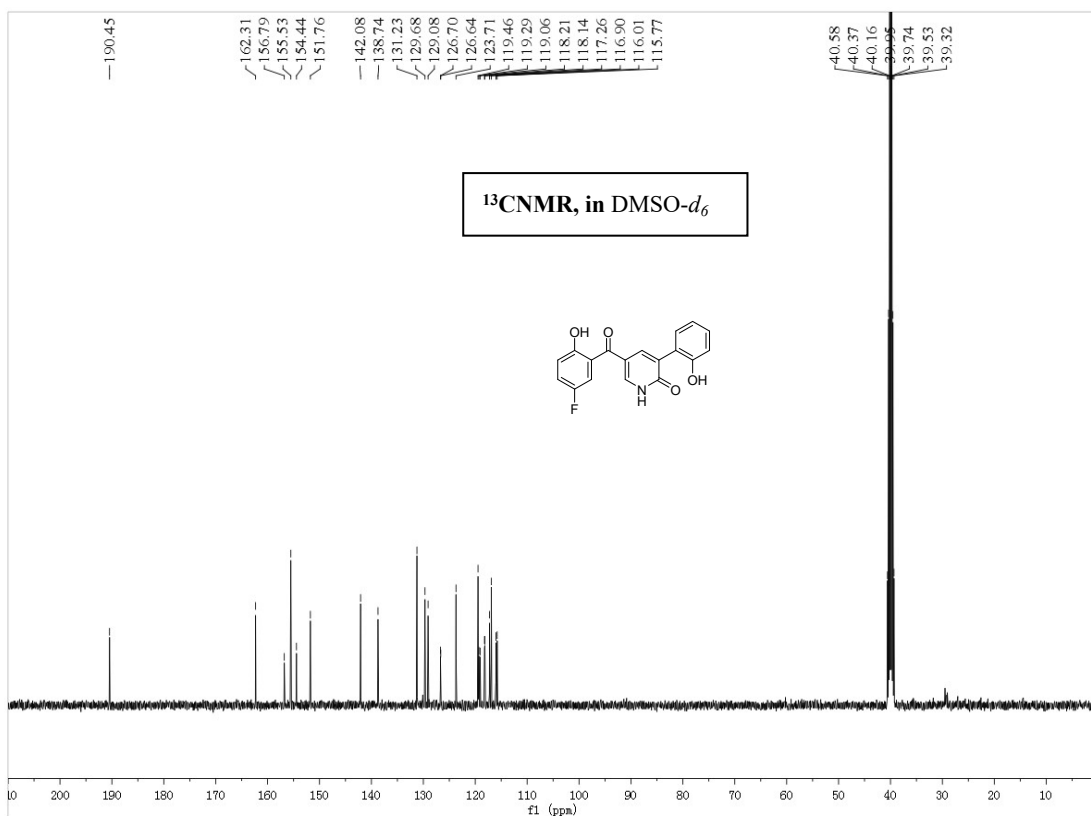
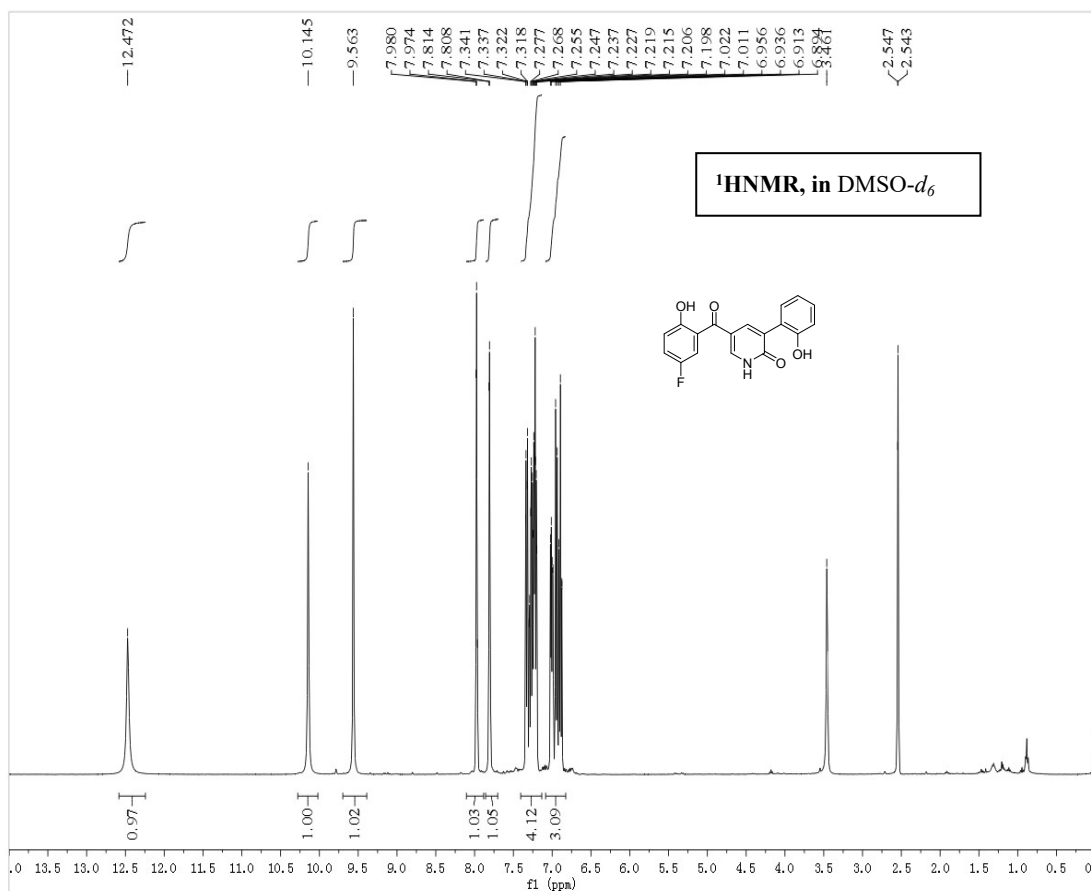
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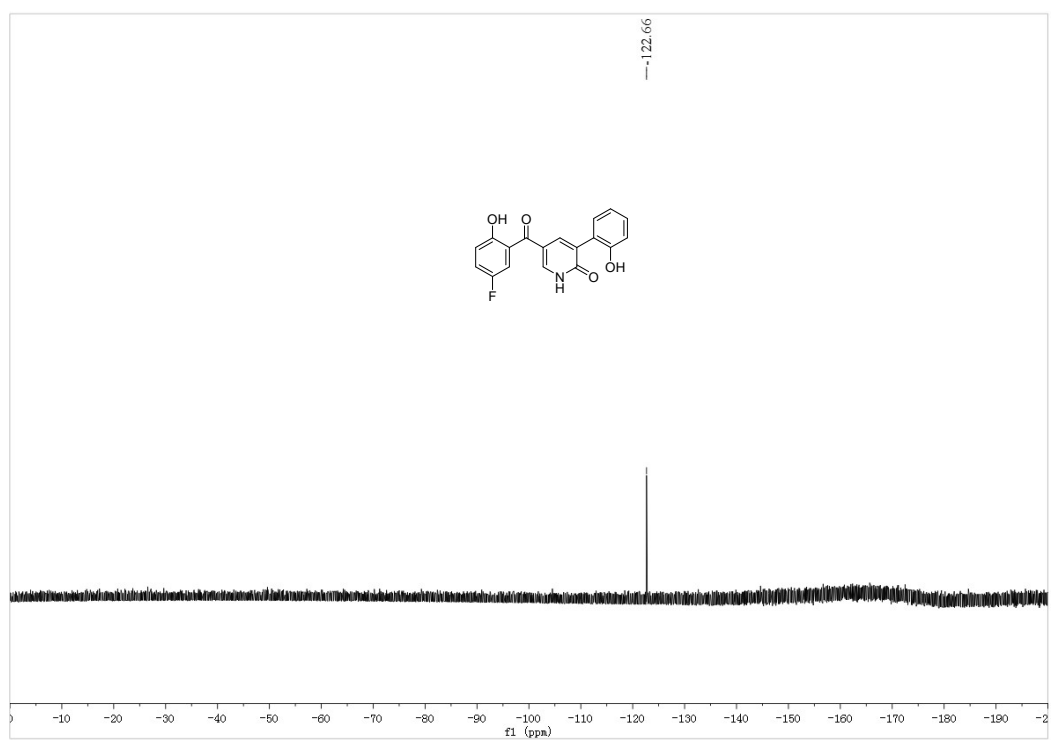
¹H and ¹³C NMR of 3aa



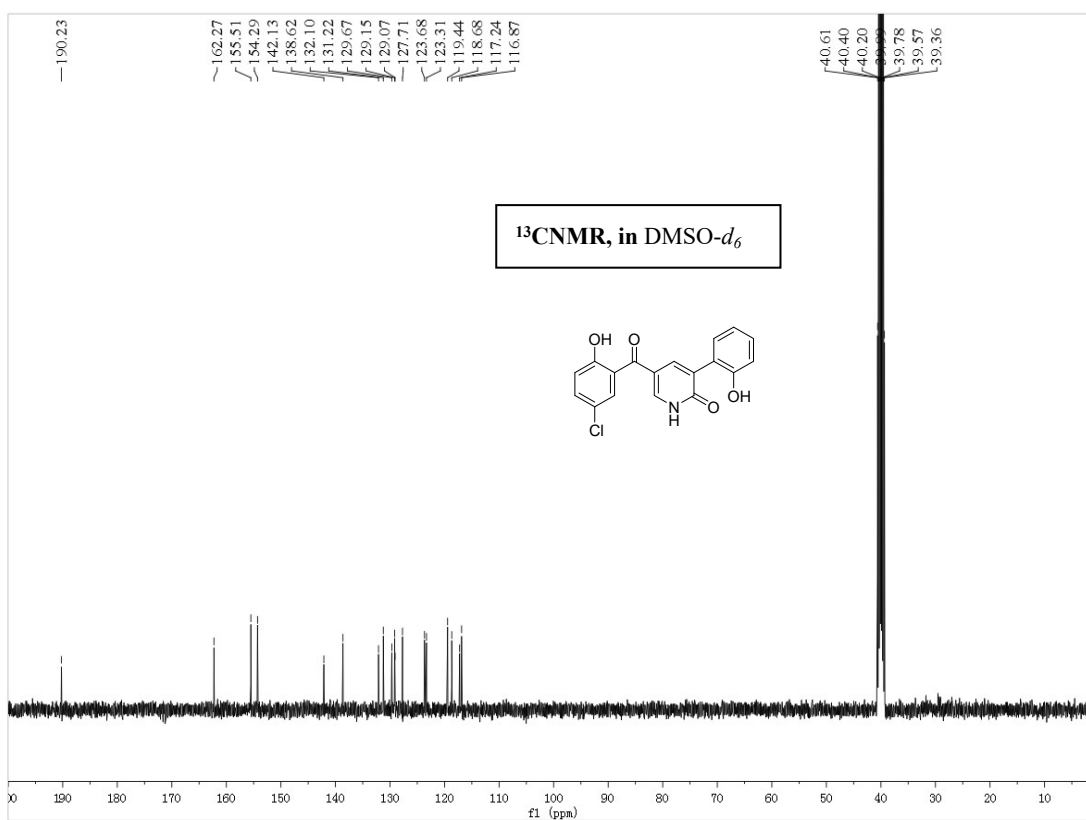
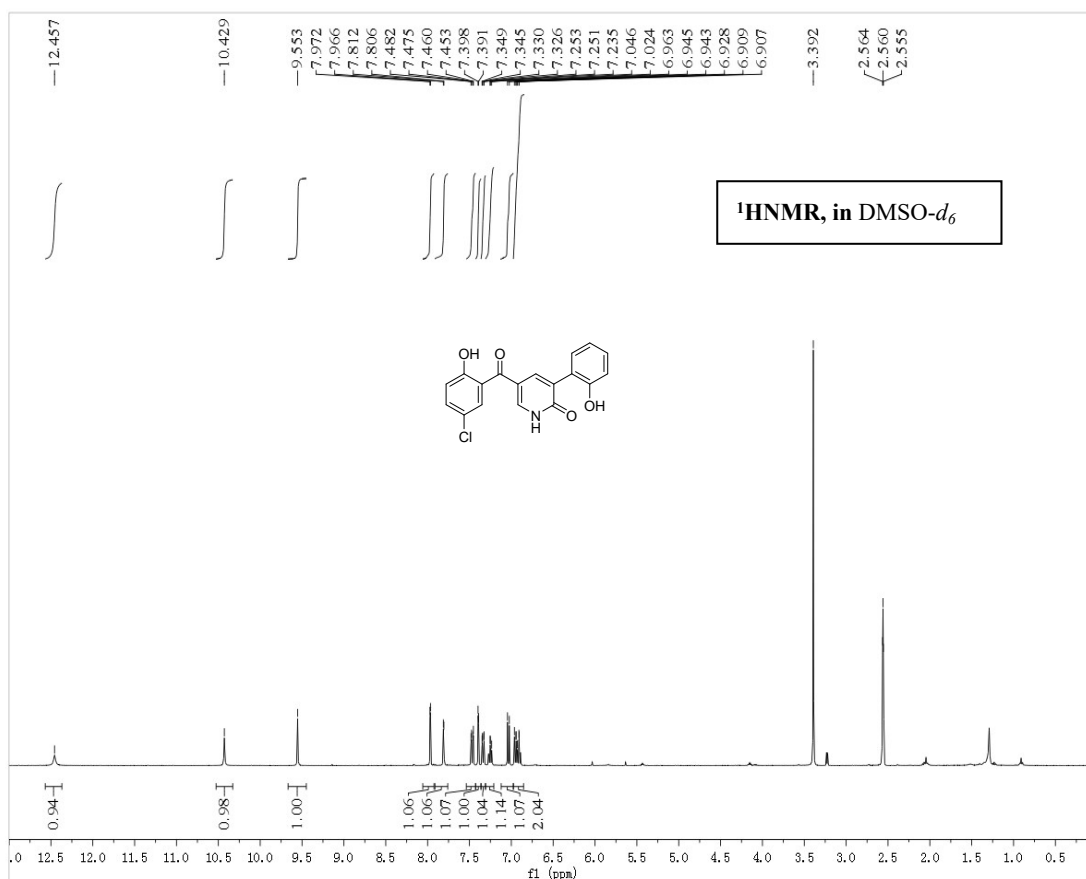
¹H and ¹³C NMR of 3ab



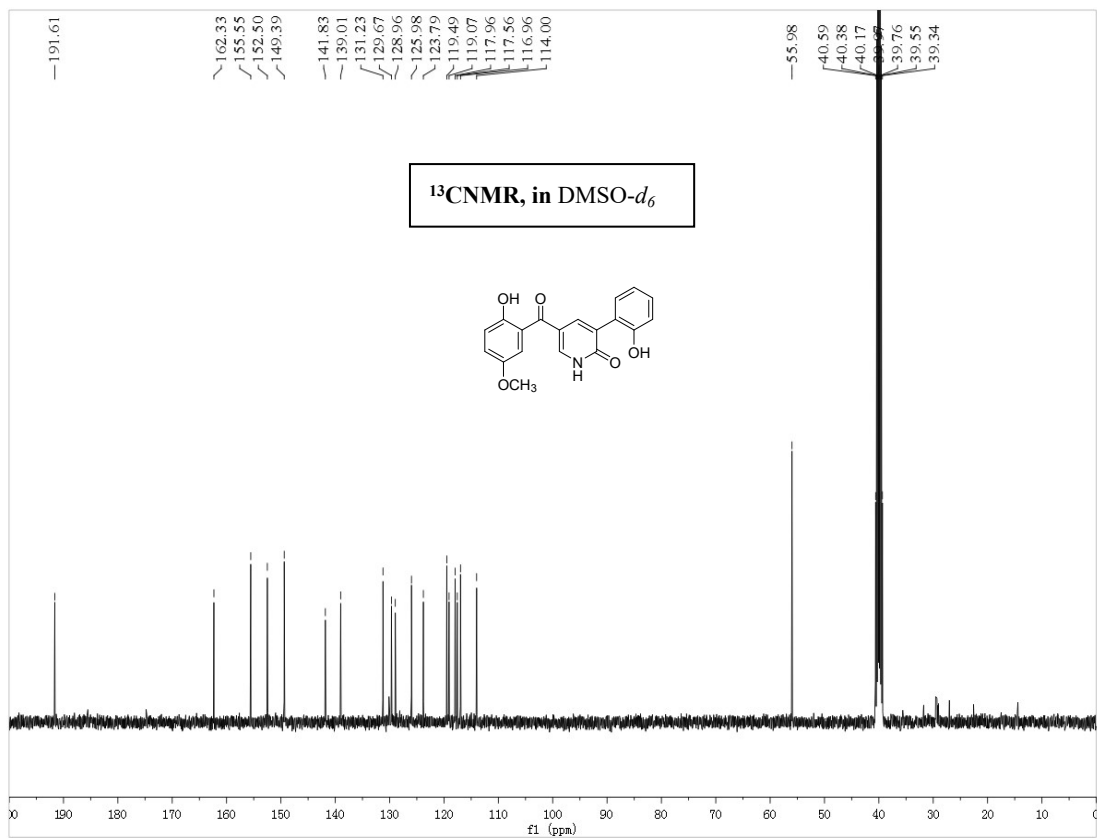
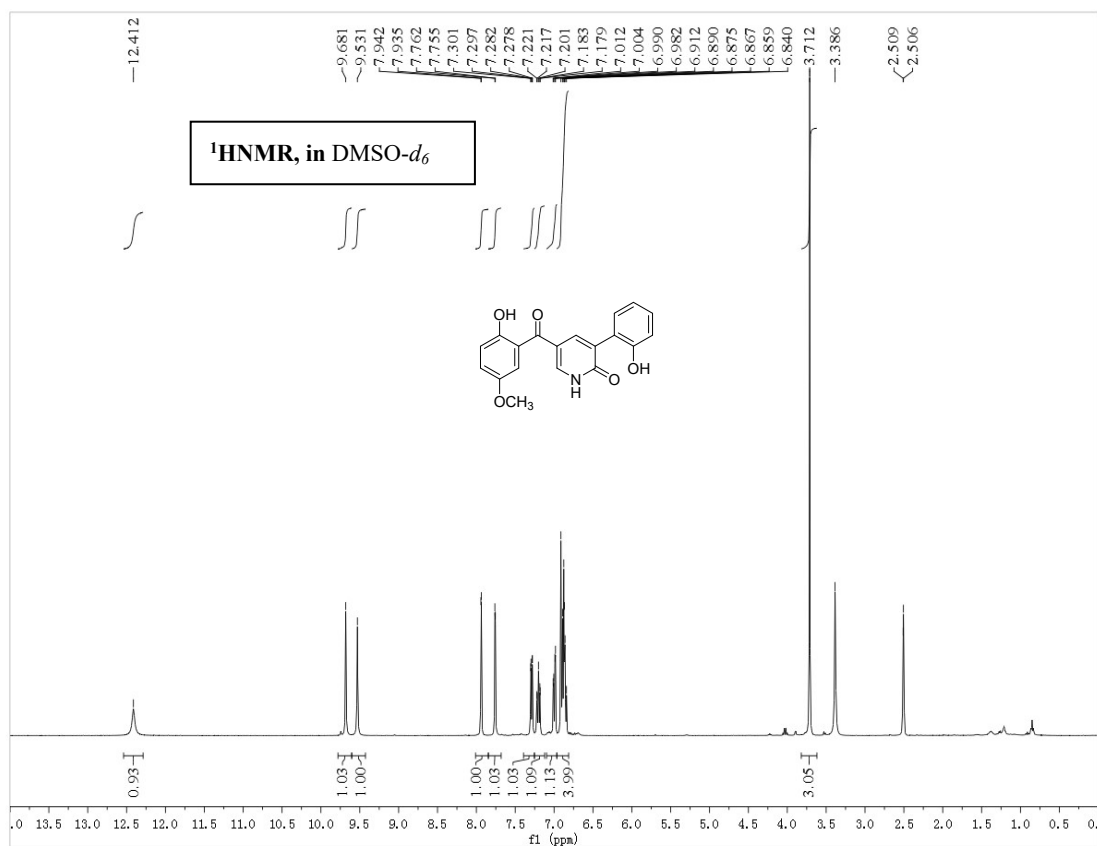
¹⁹F NMR of 3ab



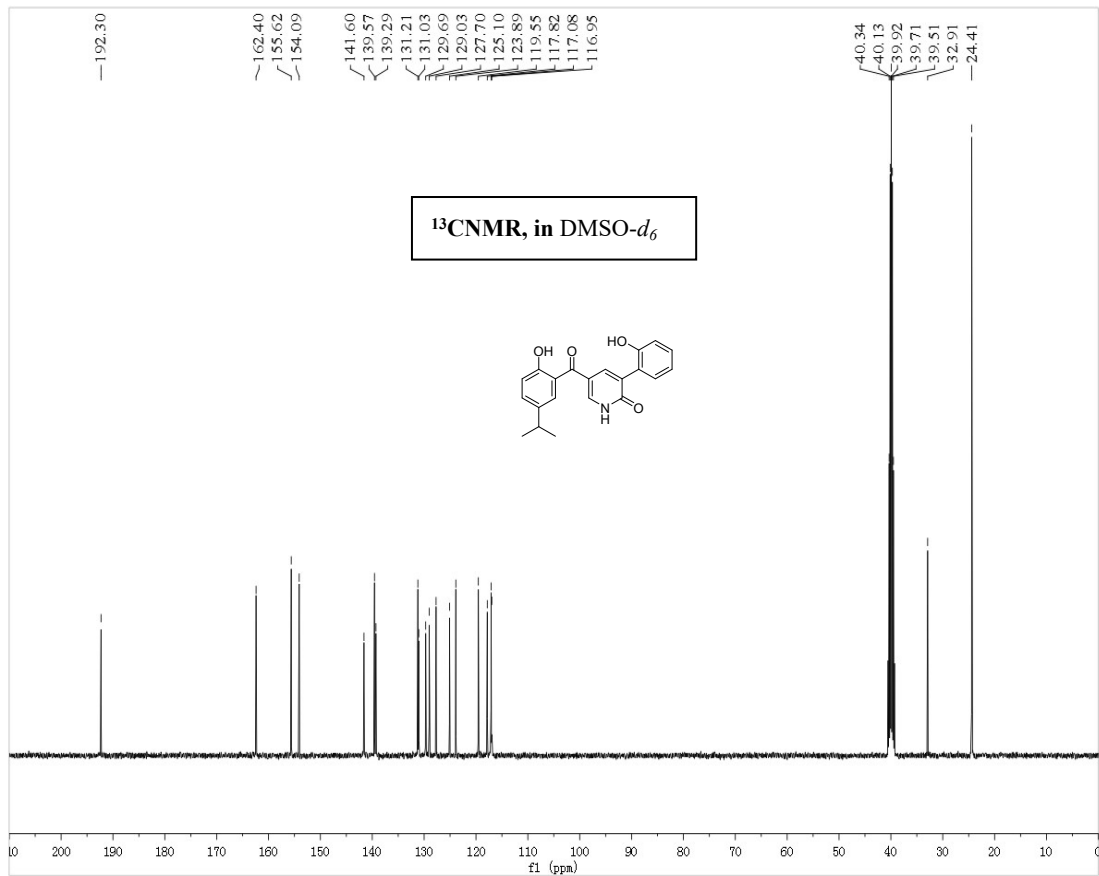
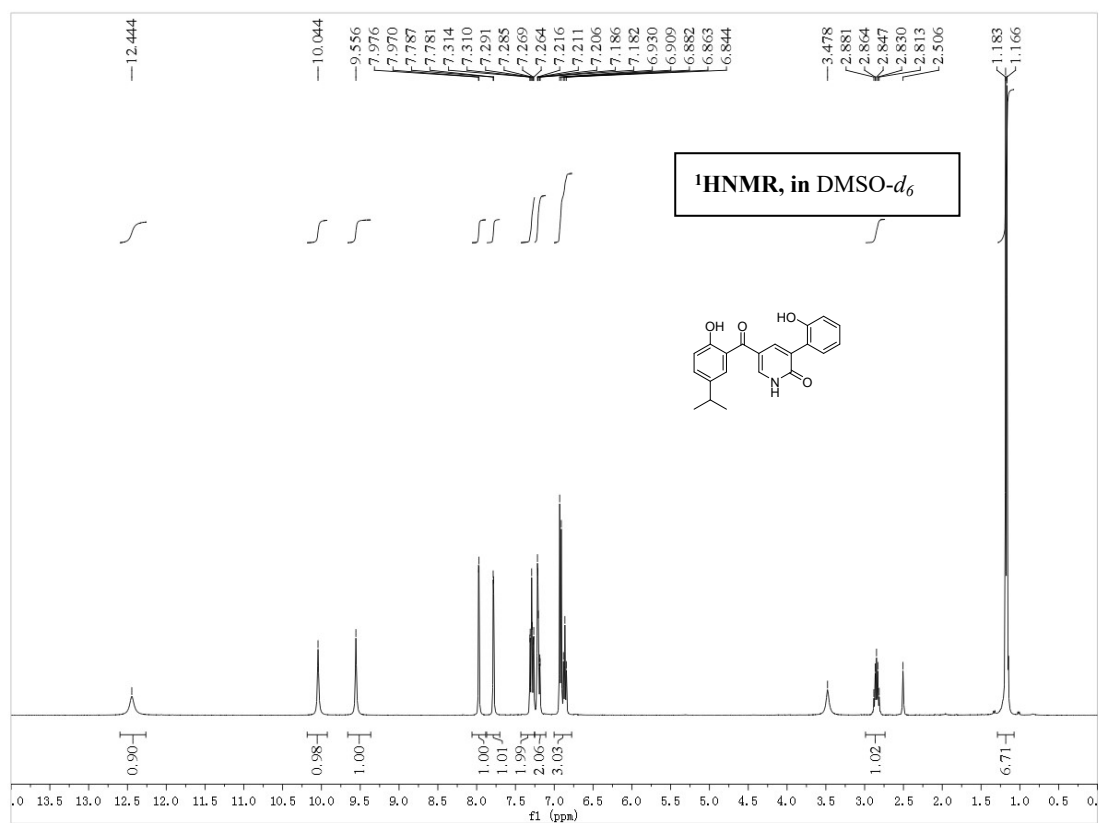
^1H and ^{13}C NMR of 3ac



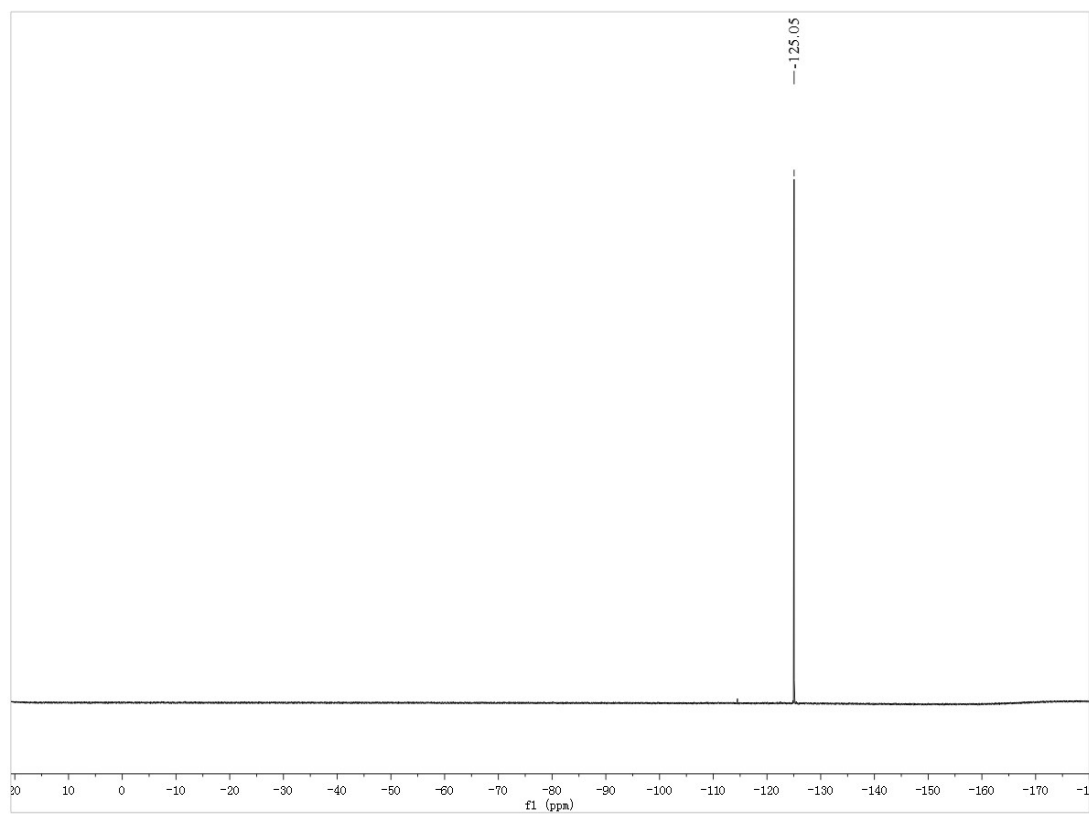
¹H and ¹³C NMR of 3ad



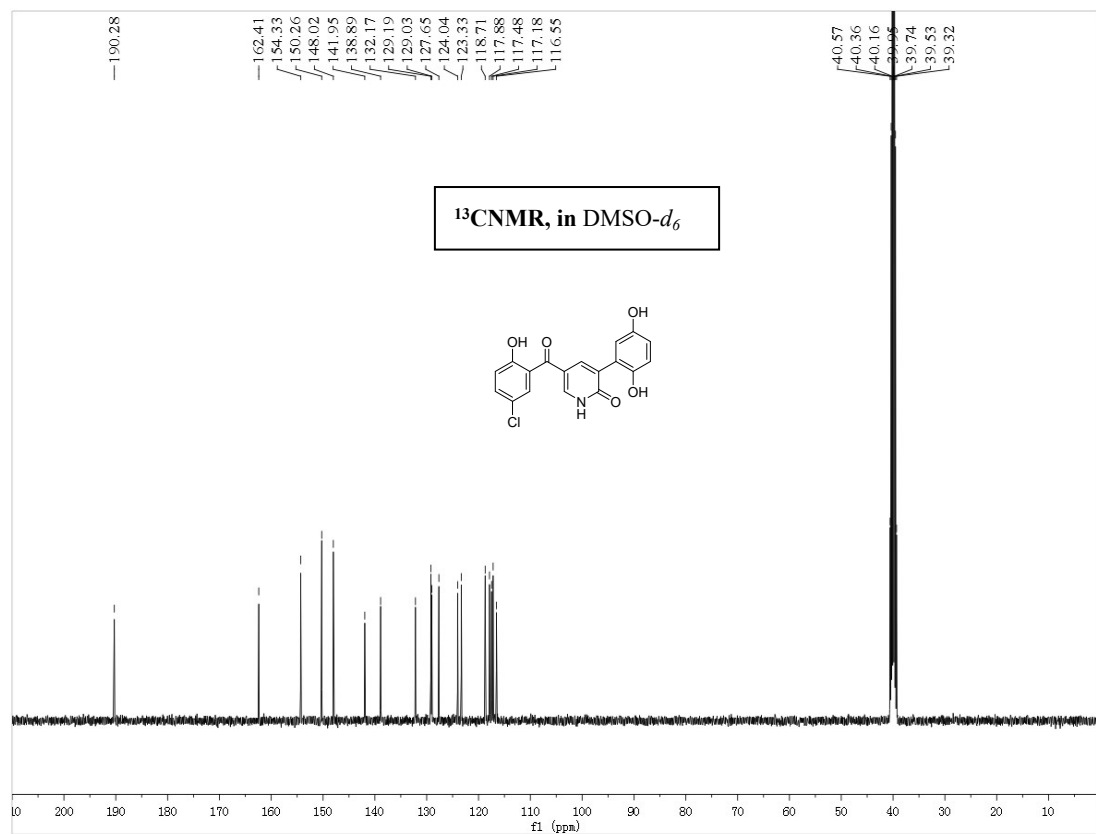
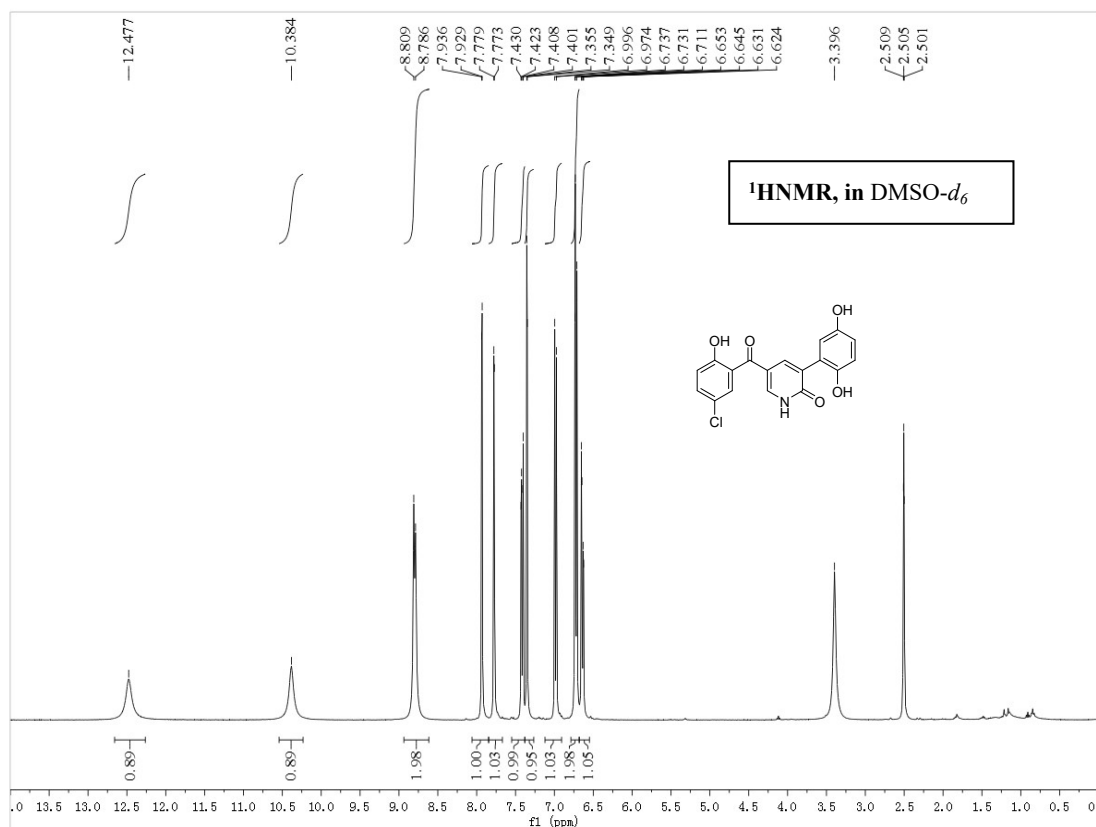
¹H and ¹³C NMR of 3ae



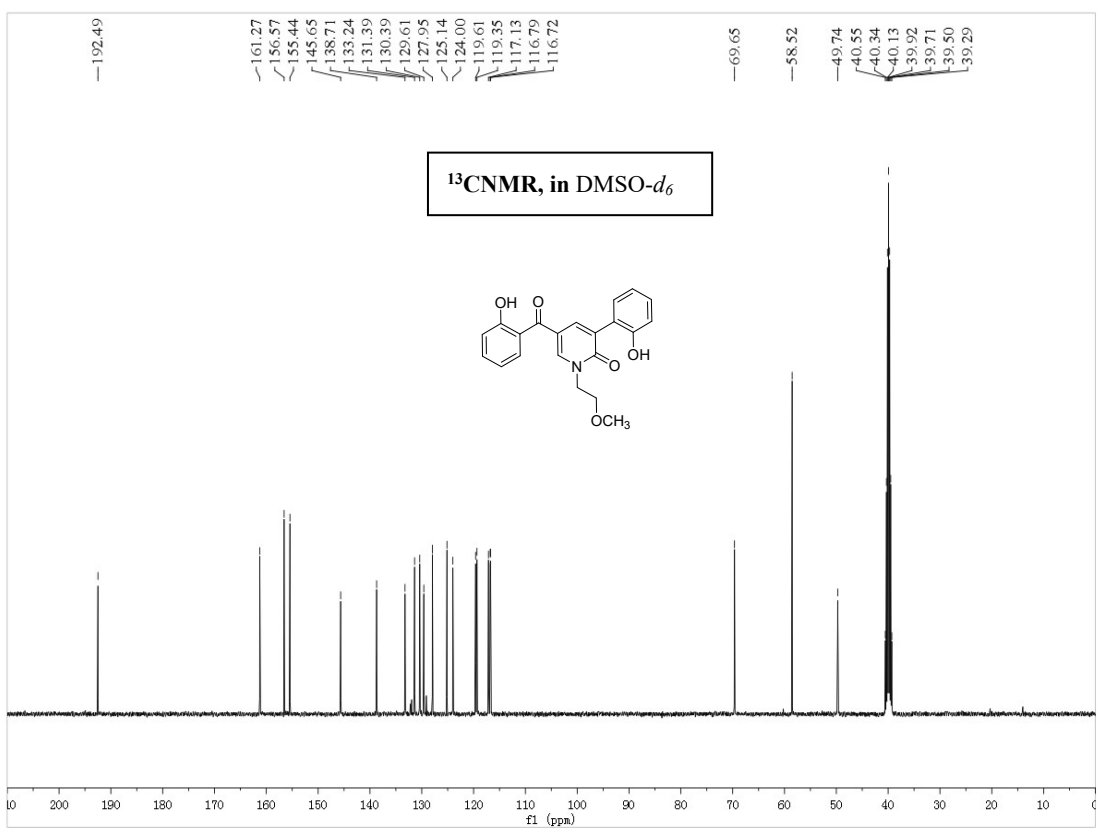
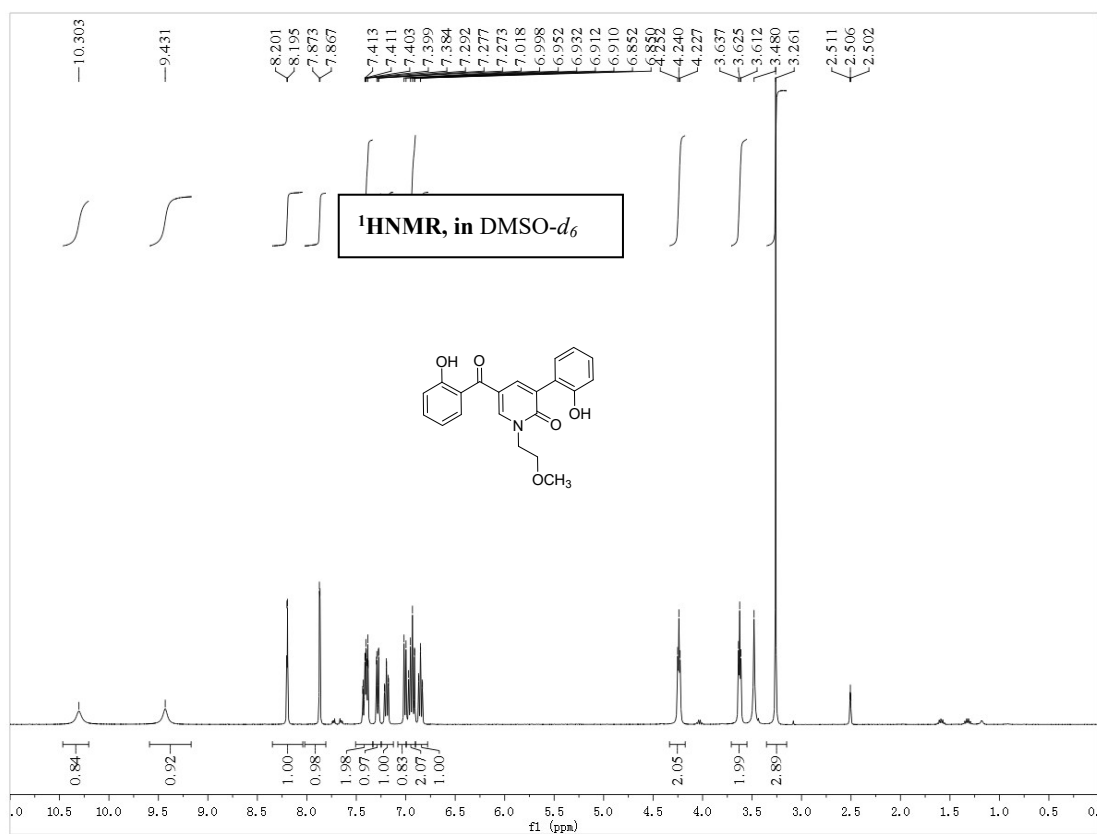
^{19}F NMR of 3af



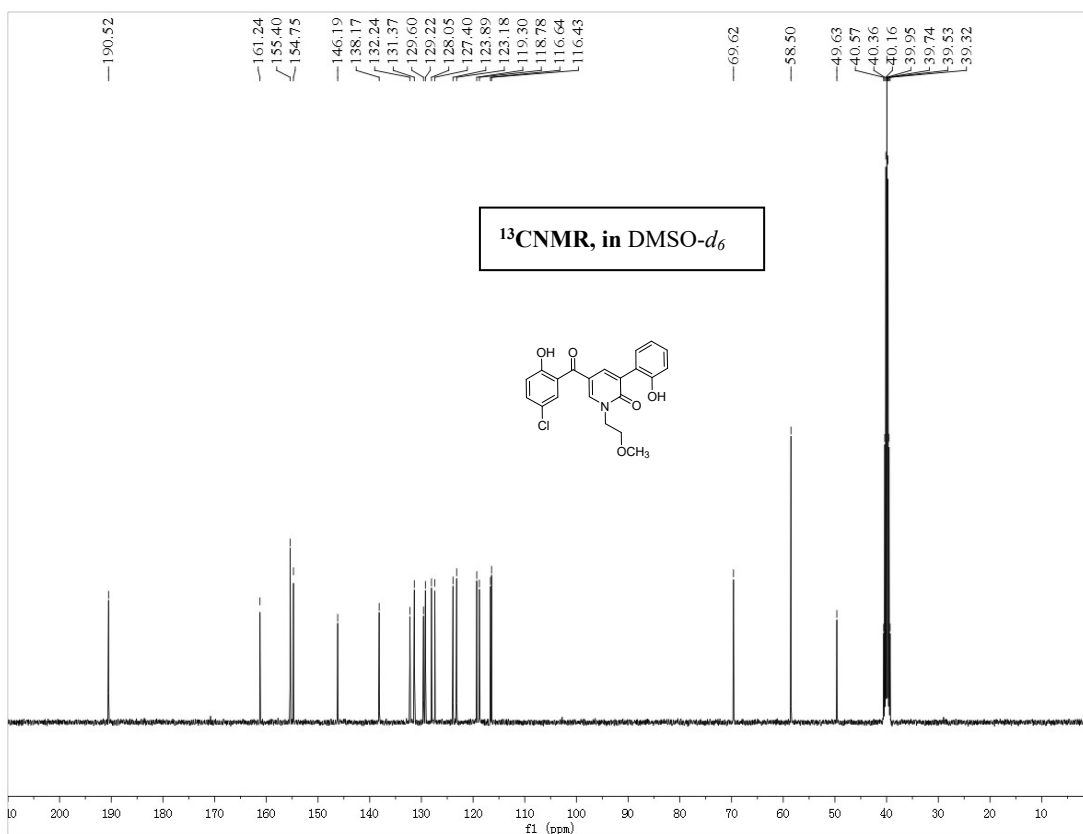
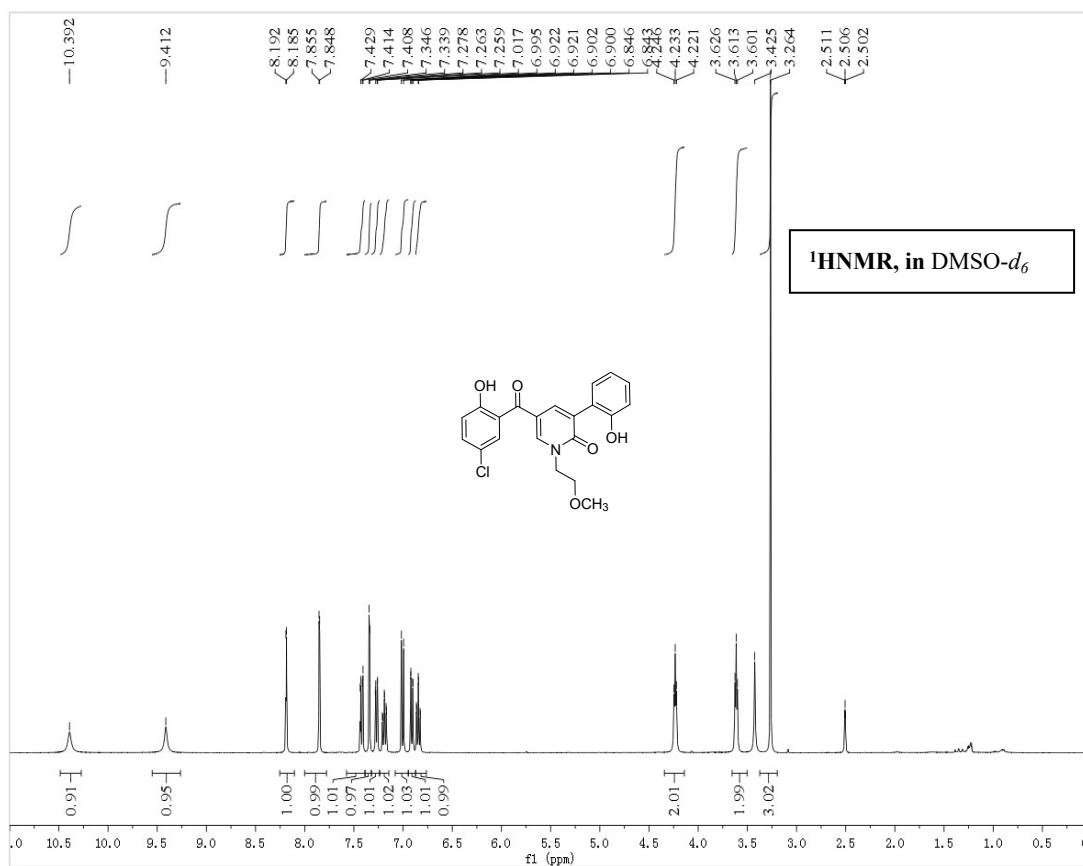
¹H and ¹³C NMR of 3ag



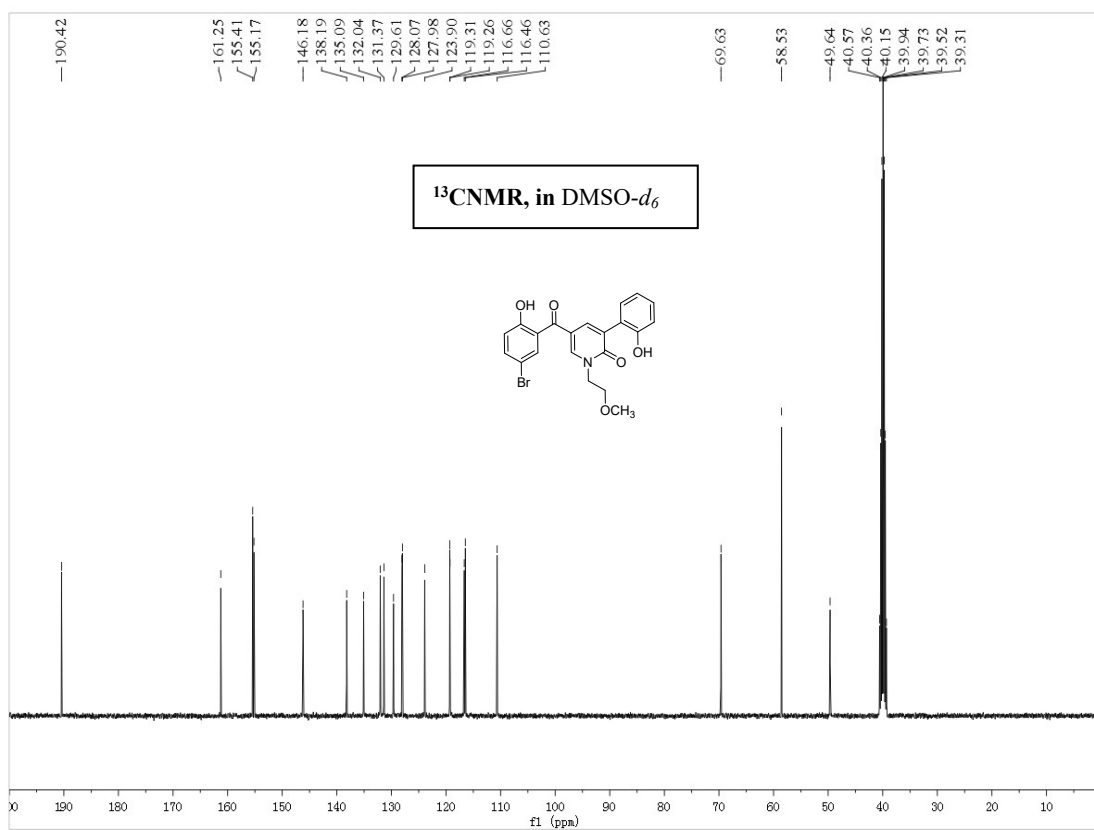
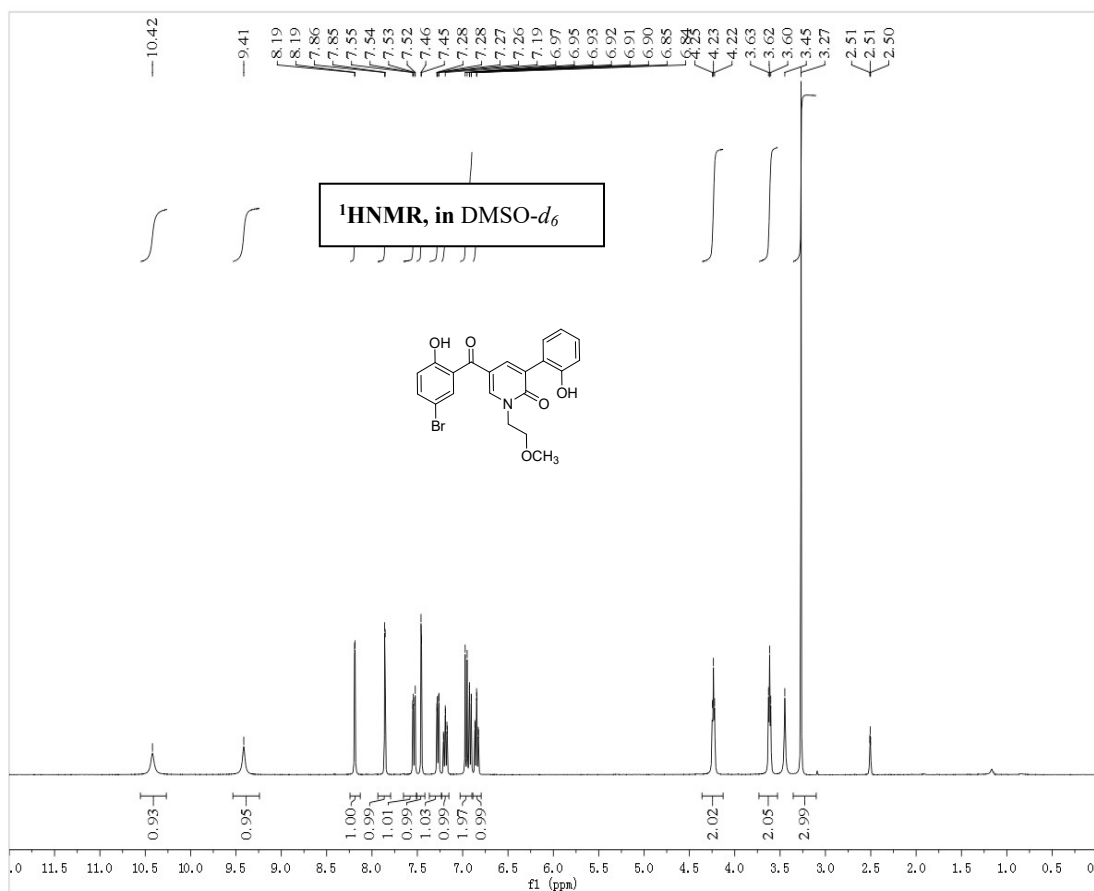
^1H and ^{13}C NMR of 3ba



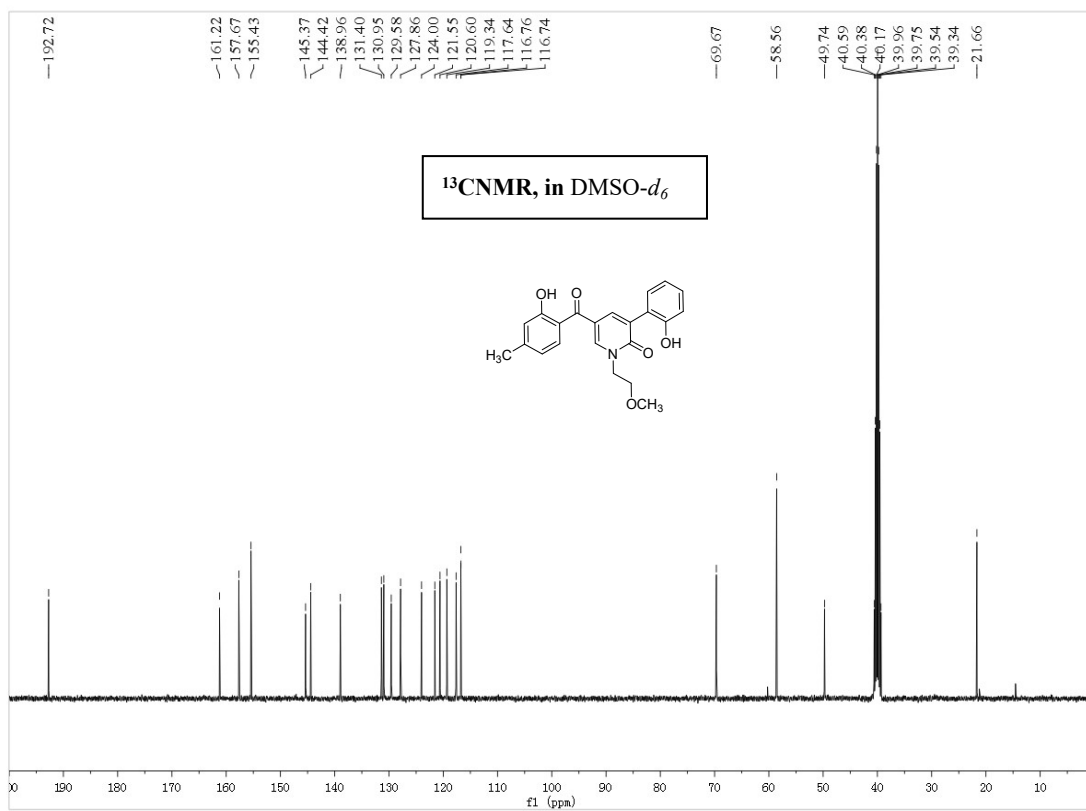
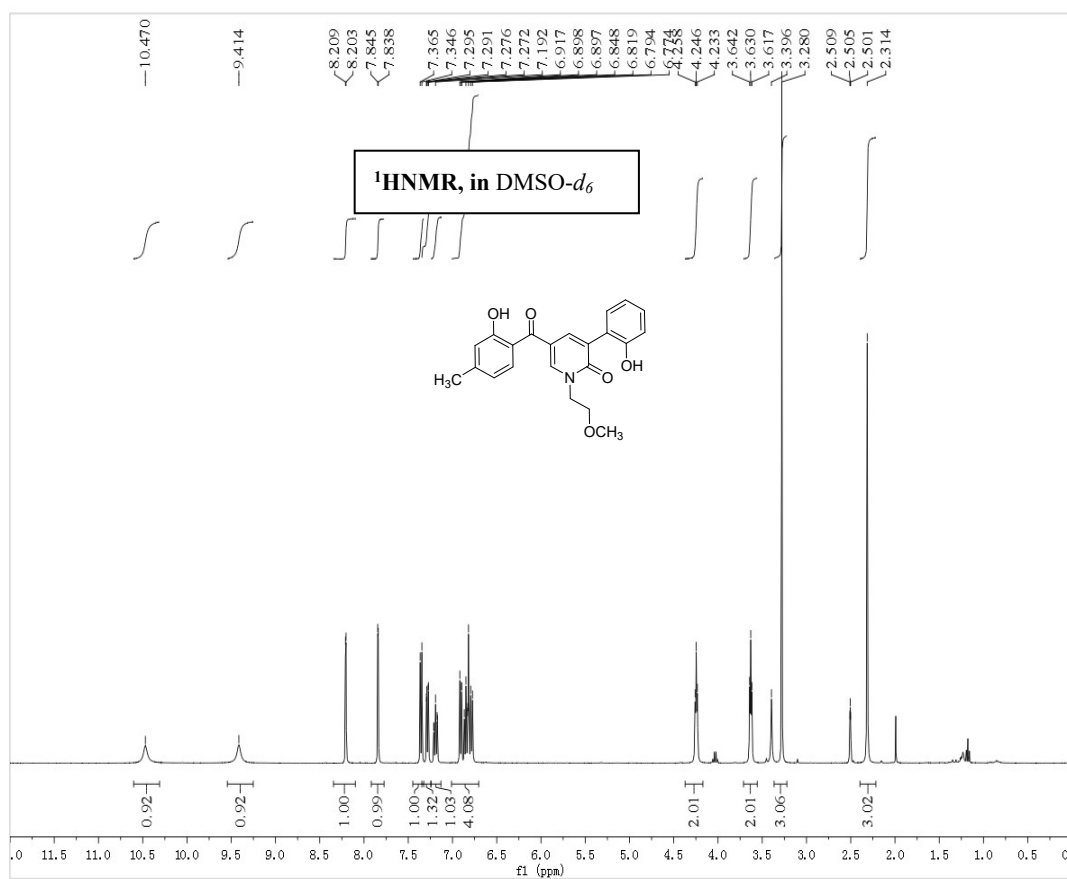
¹H and ¹³C NMR of 3bb



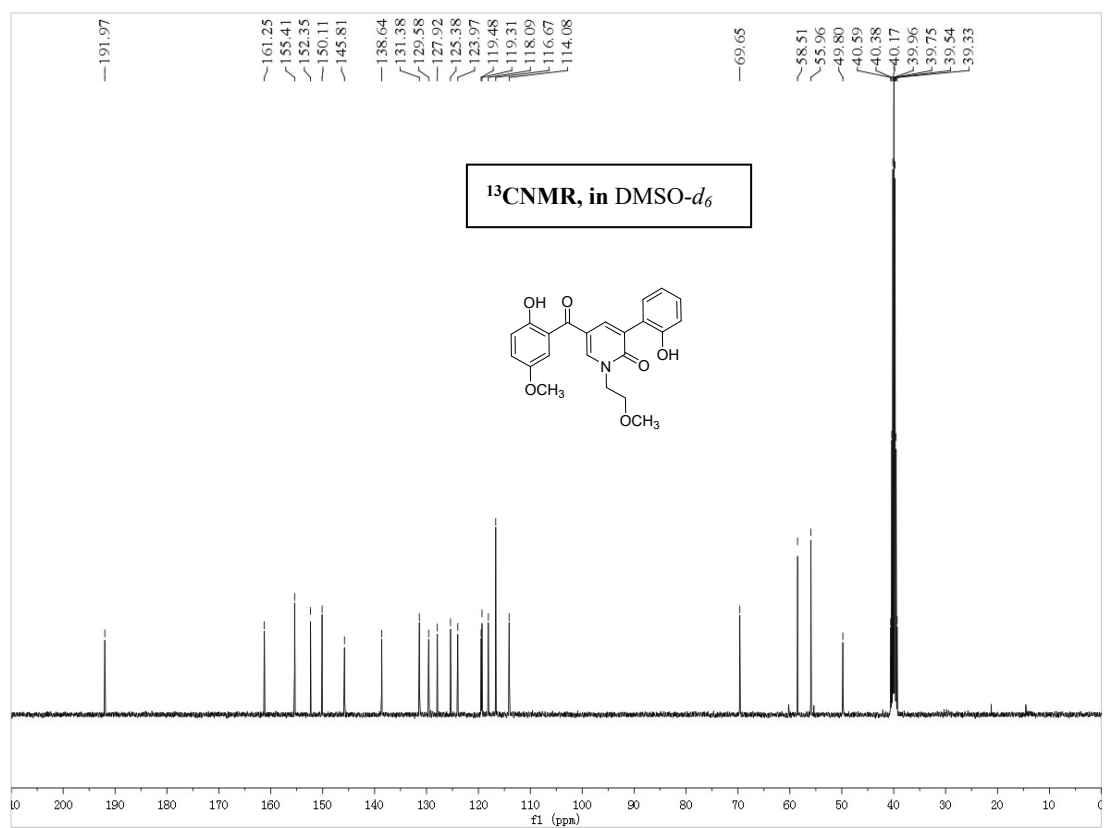
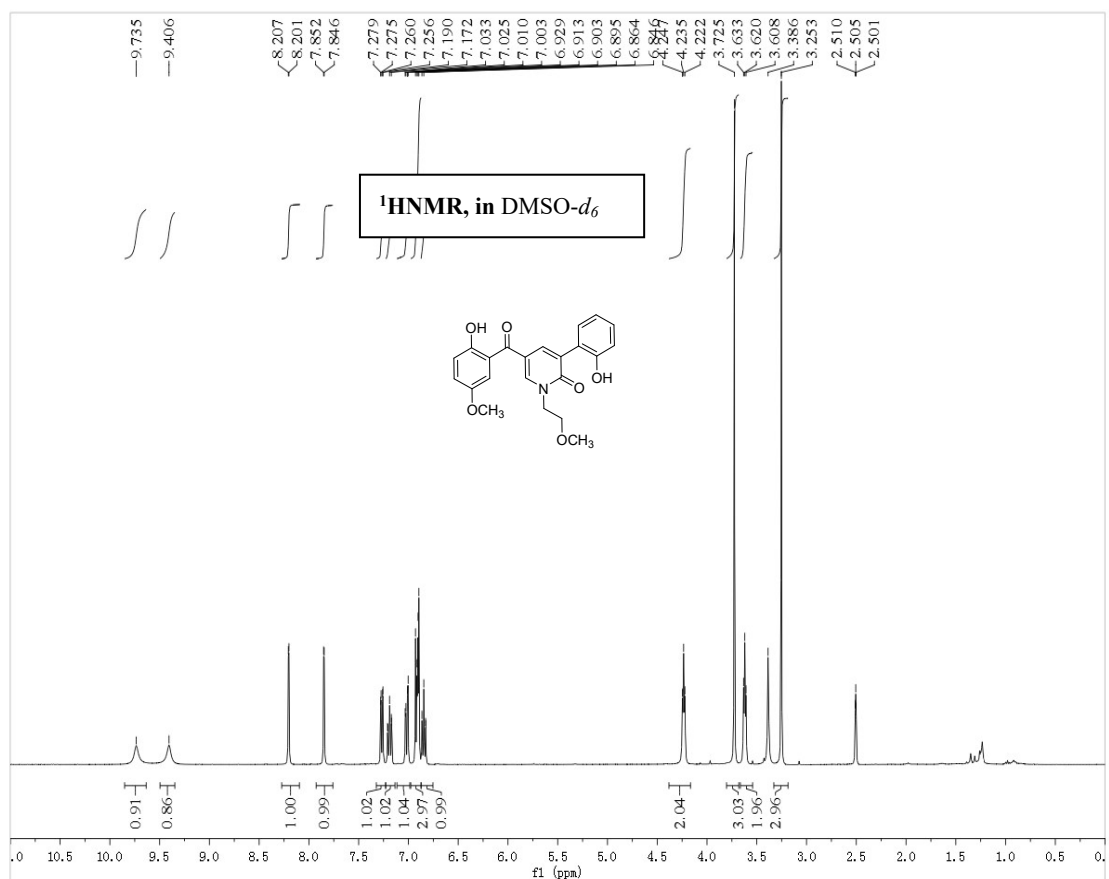
¹H and ¹³C NMR of 3bc



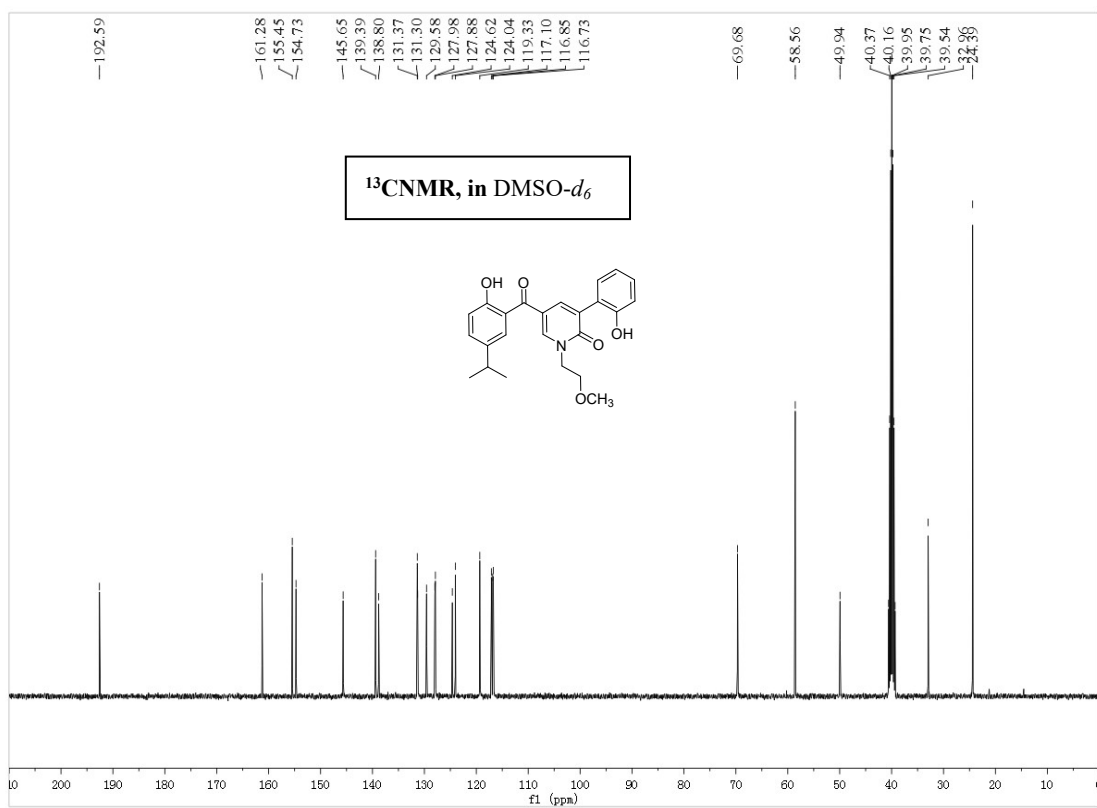
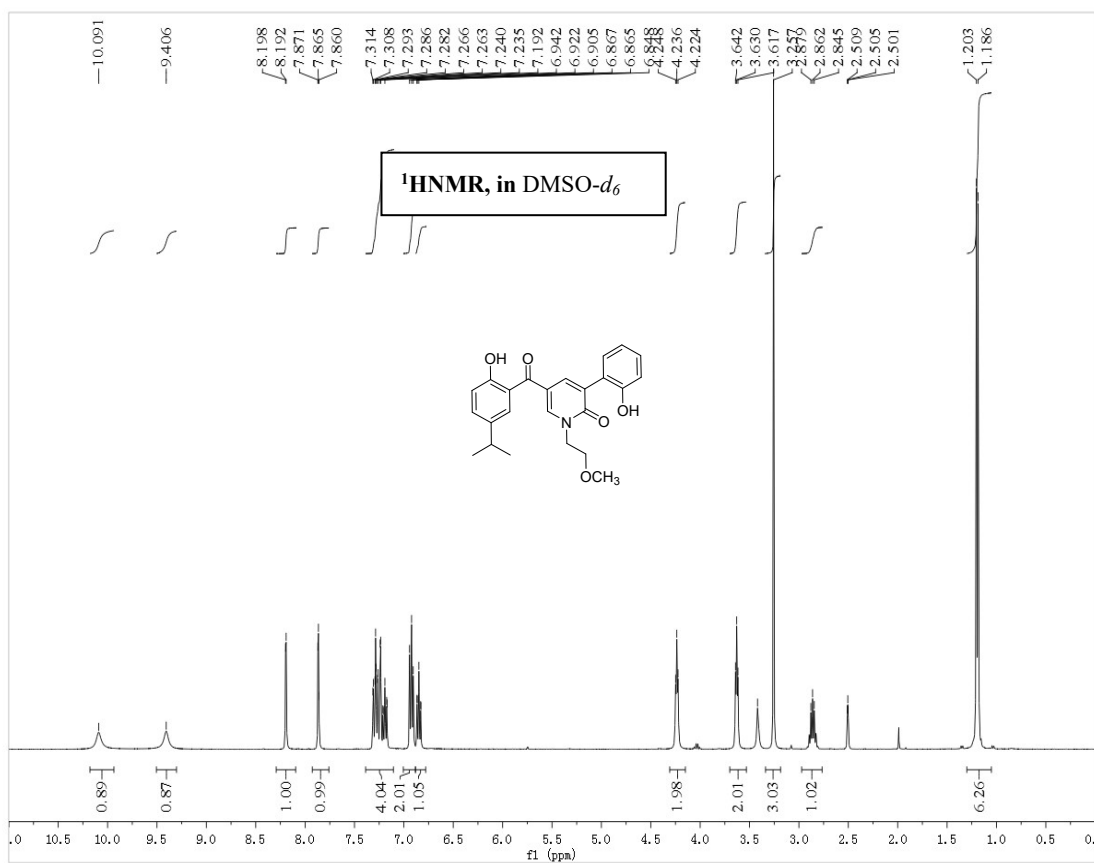
^1H and ^{13}C NMR of 3bd



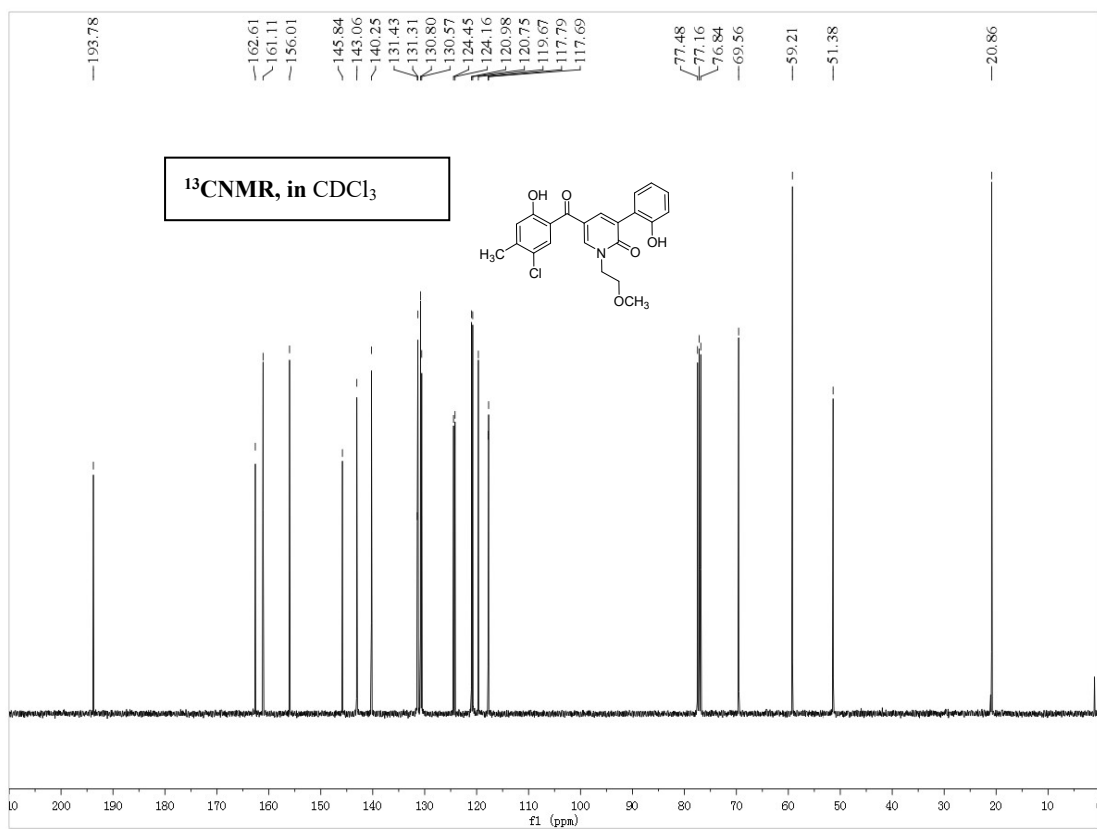
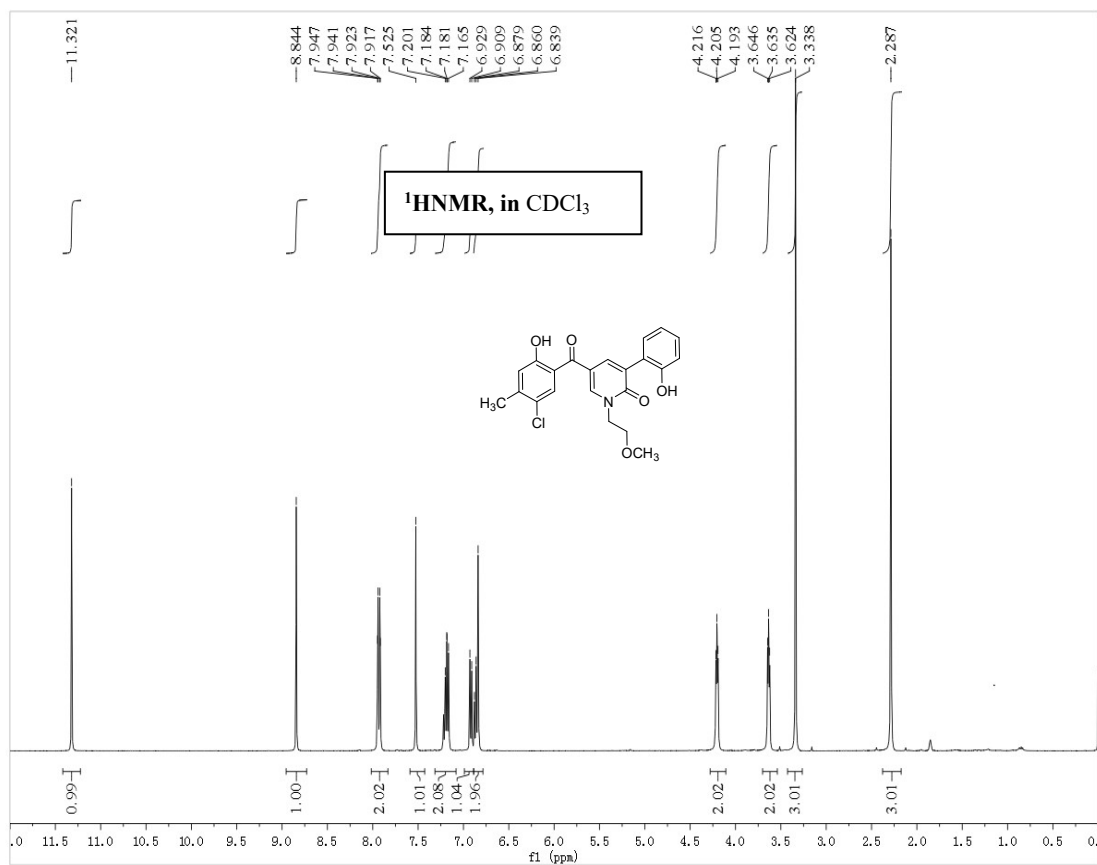
^1H and ^{13}C NMR of 3be



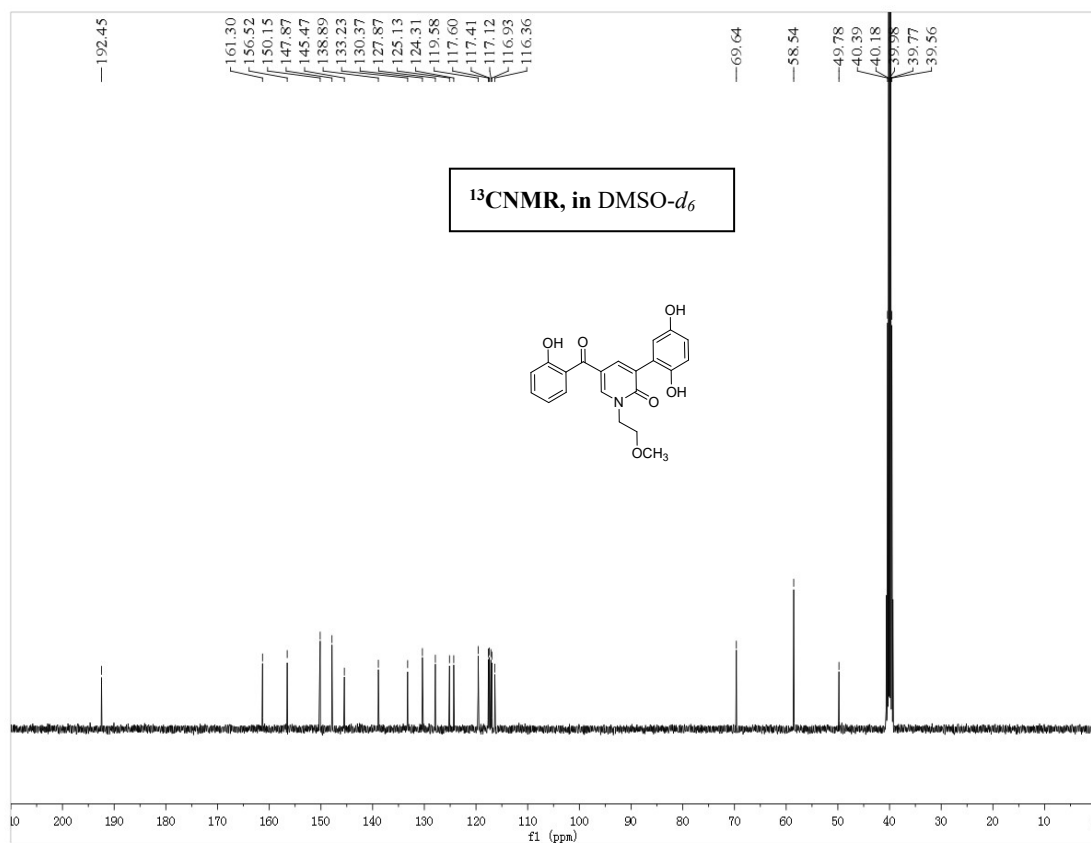
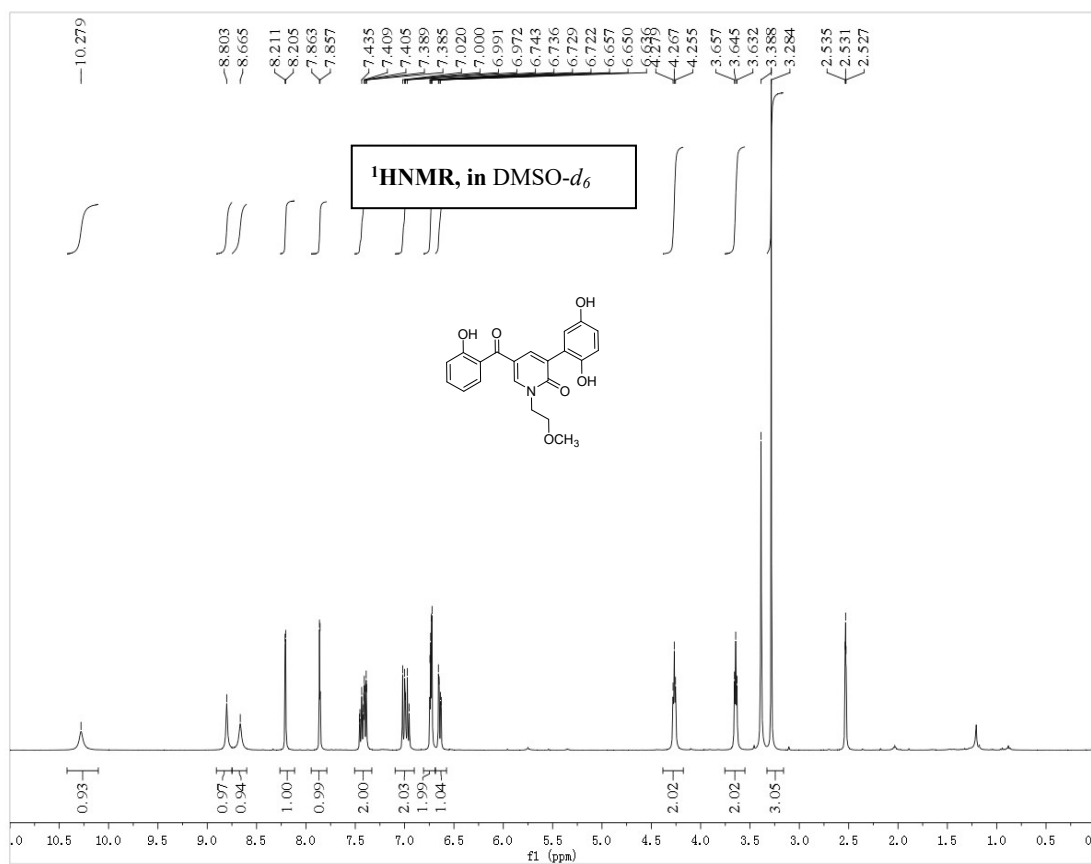
^1H and ^{13}C NMR of 3bf



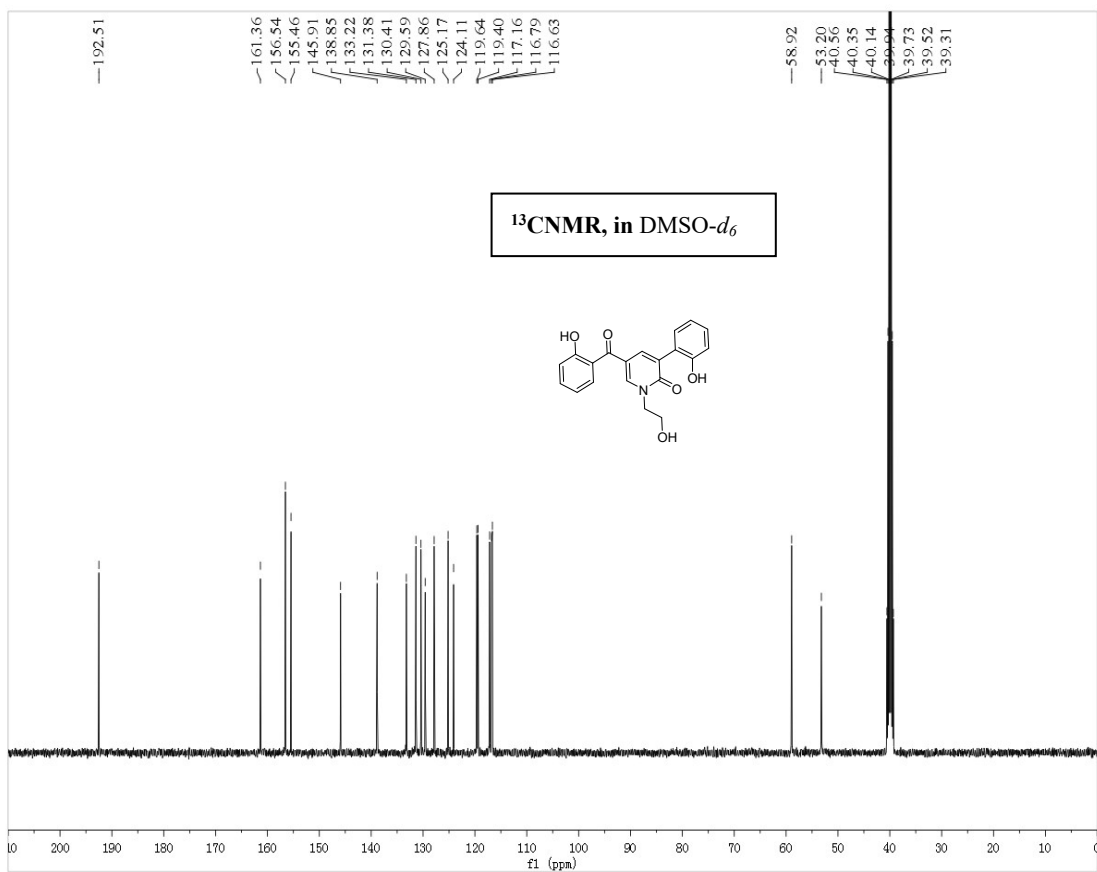
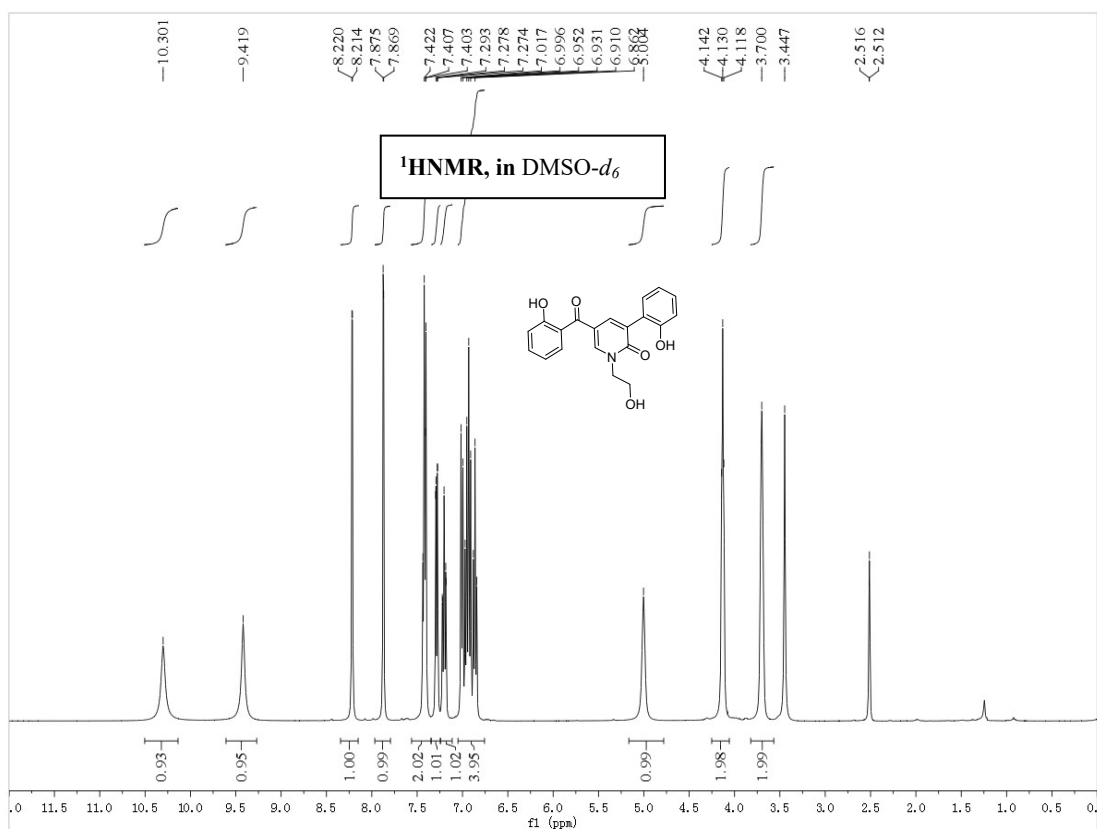
^1H and ^{13}C NMR of 3bg



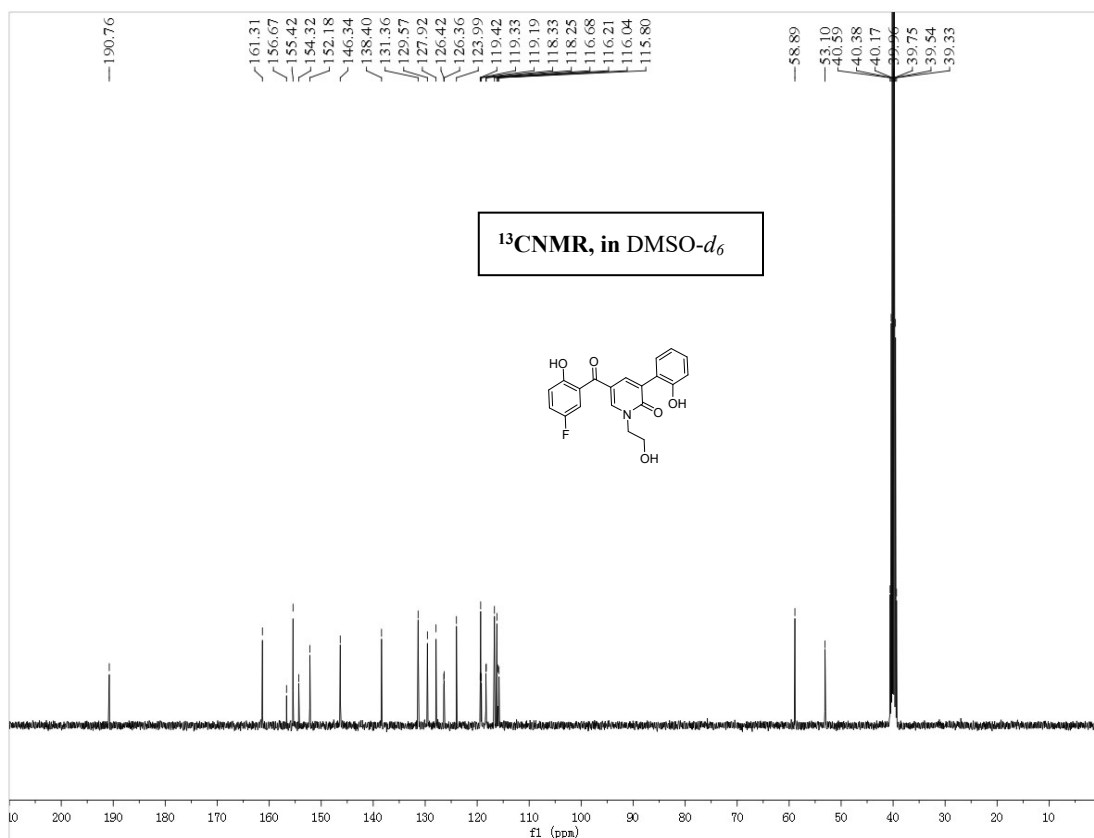
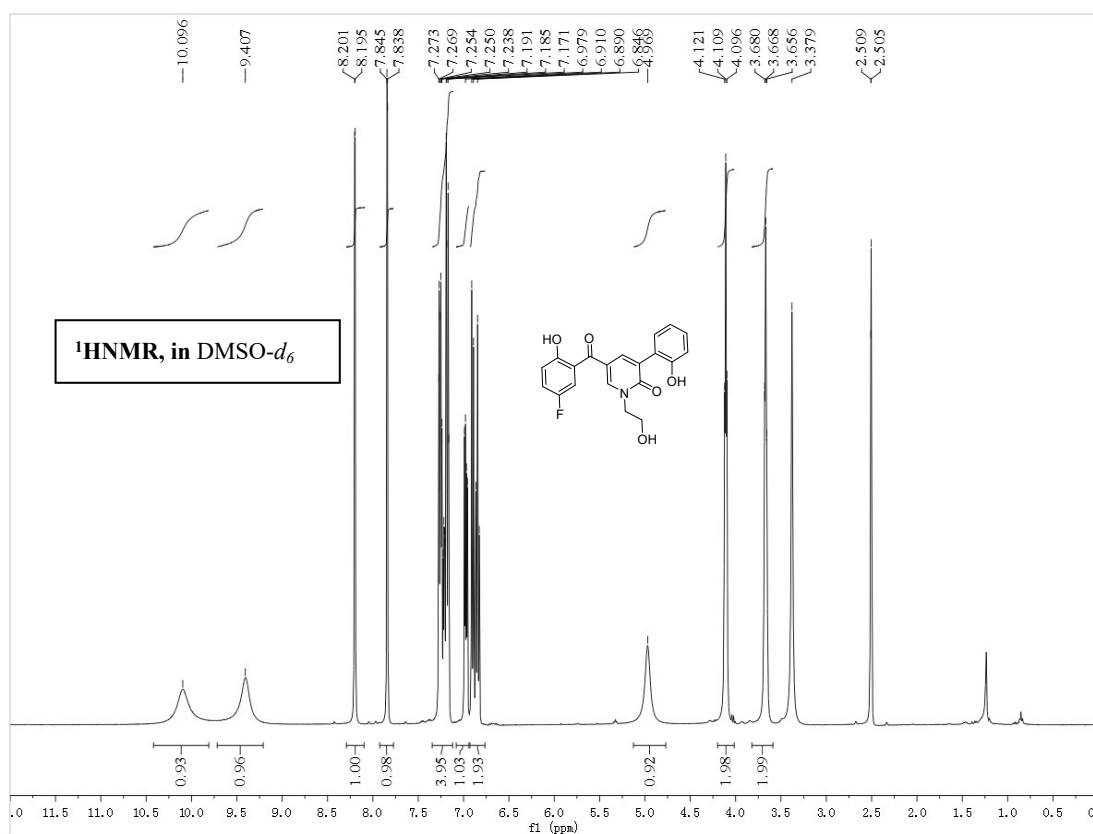
¹H and ¹³C NMR of 3bh



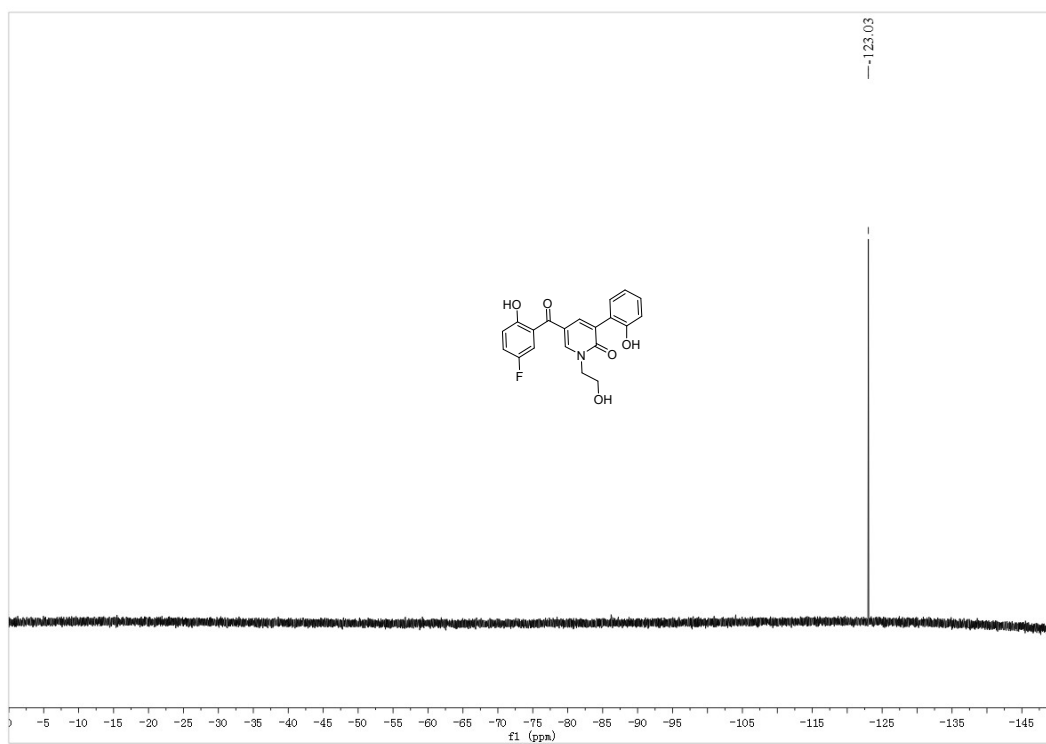
¹H and ¹³C NMR of 3ca



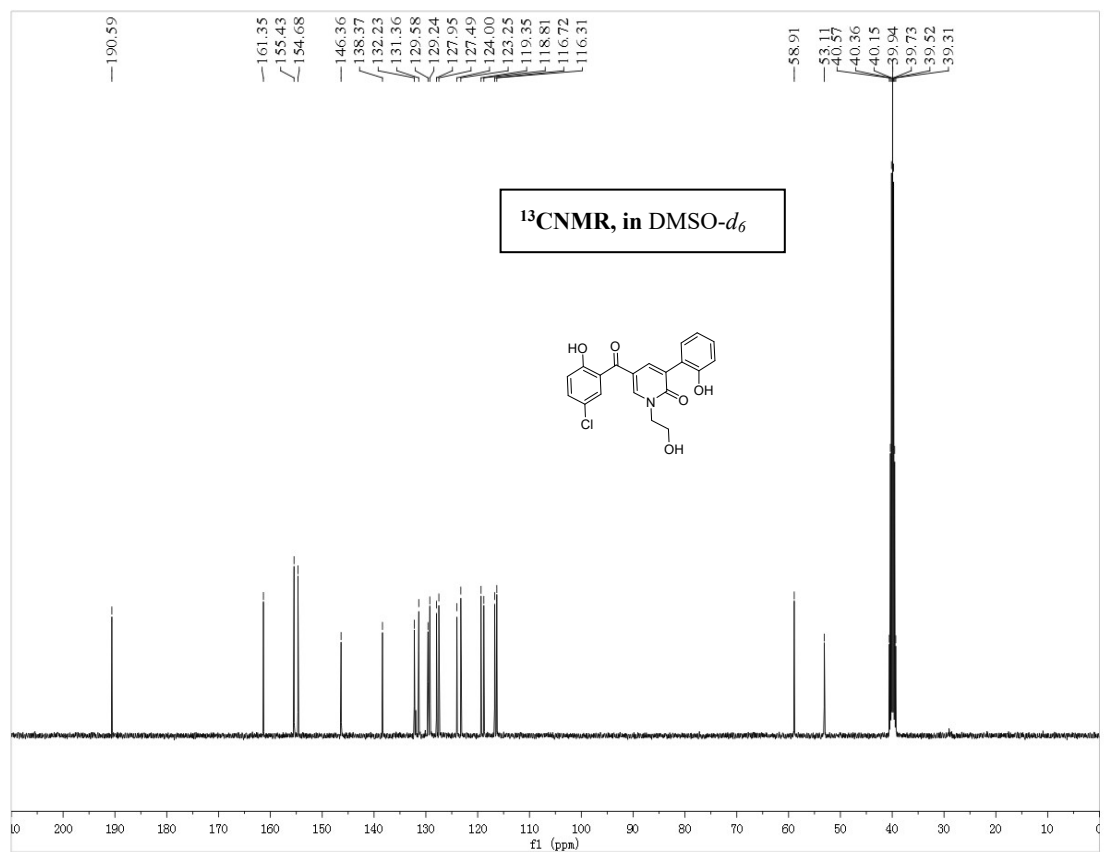
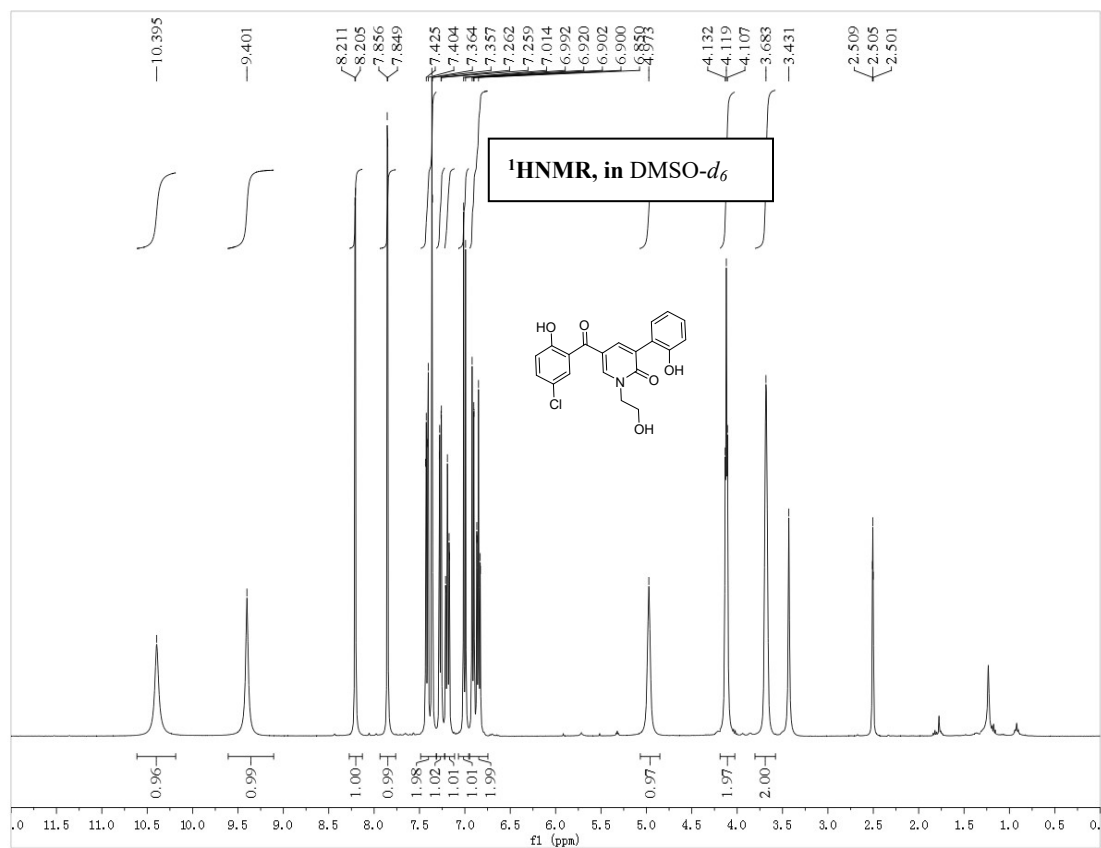
^1H and ^{13}C NMR of 3cb



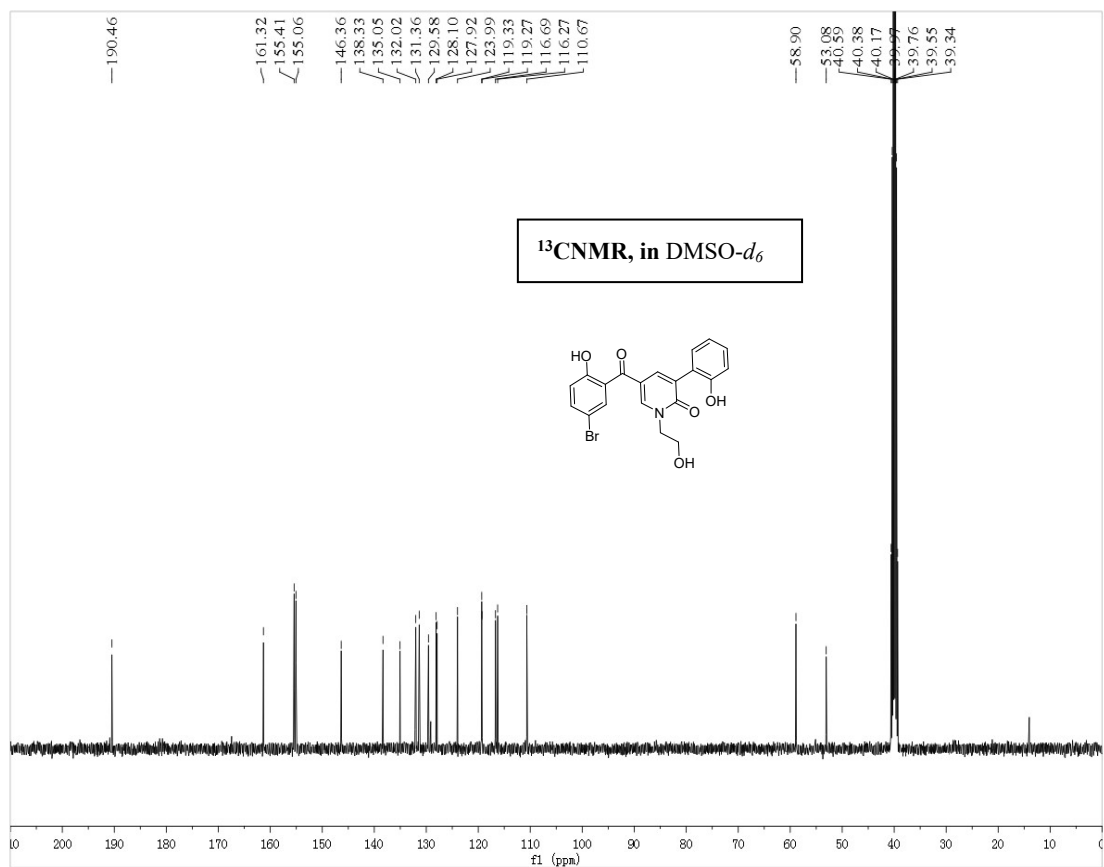
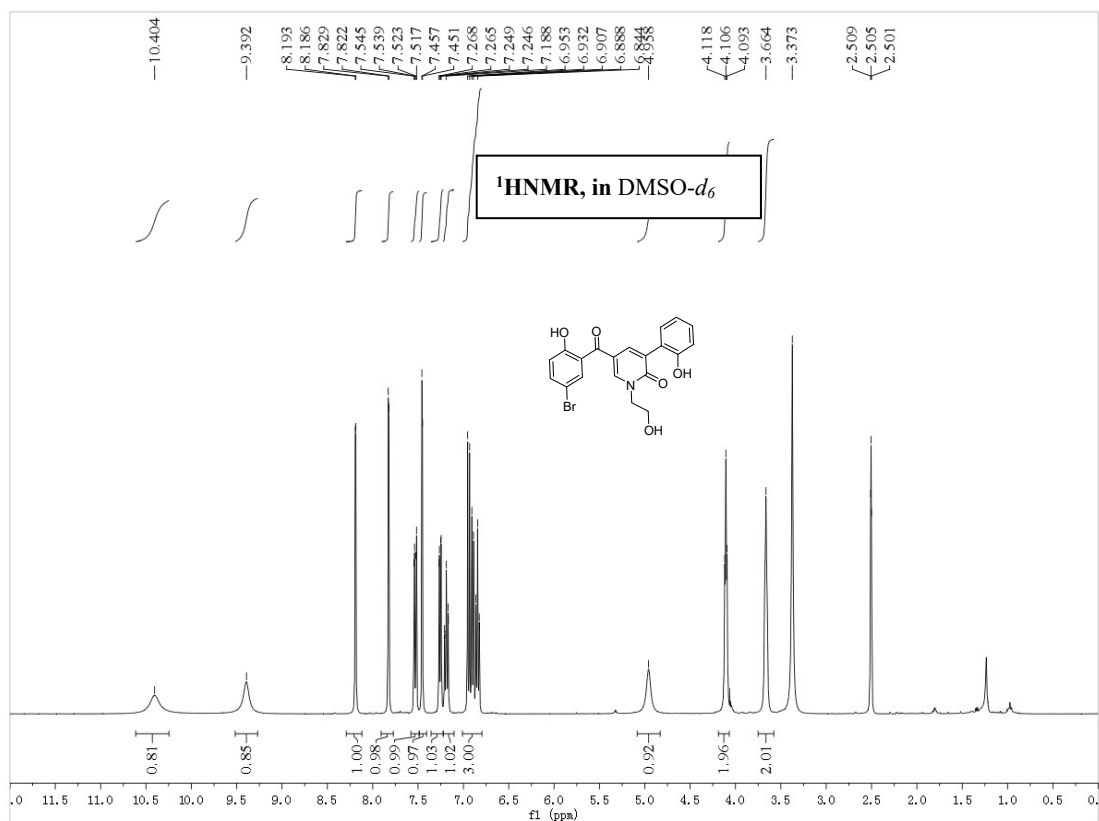
¹⁹F NMR of 3cb



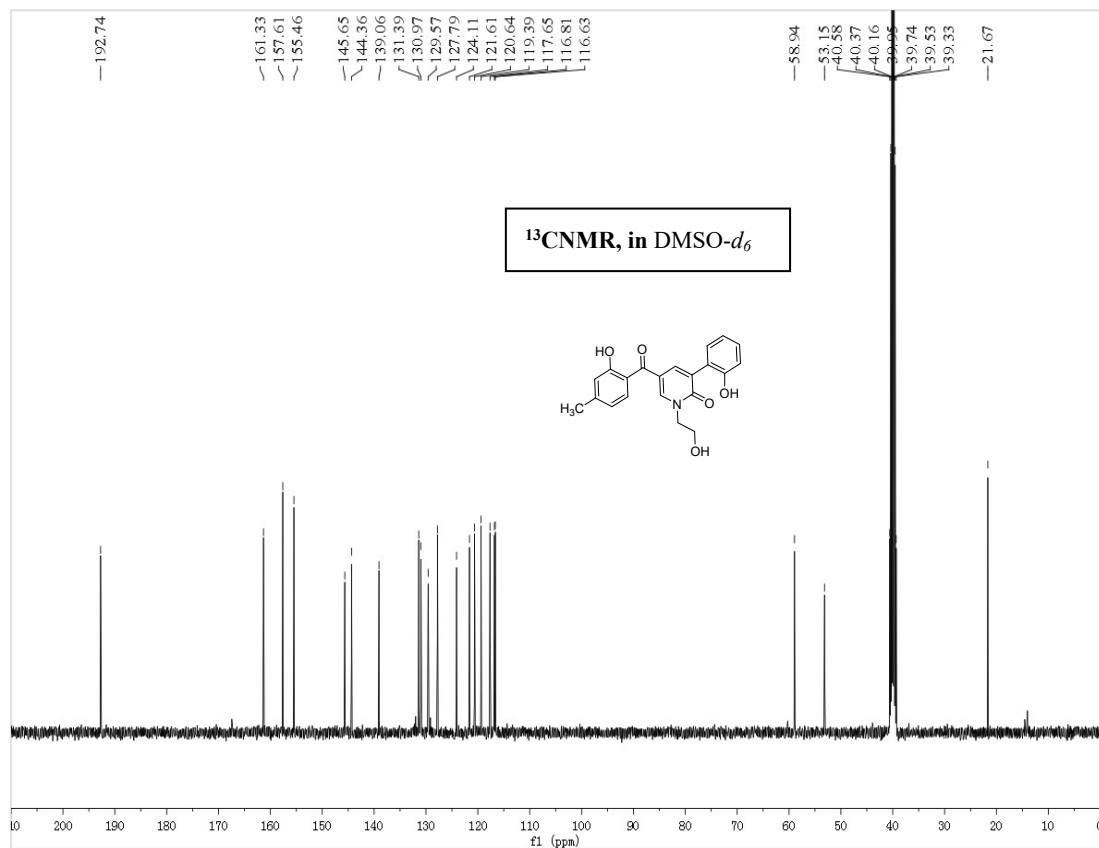
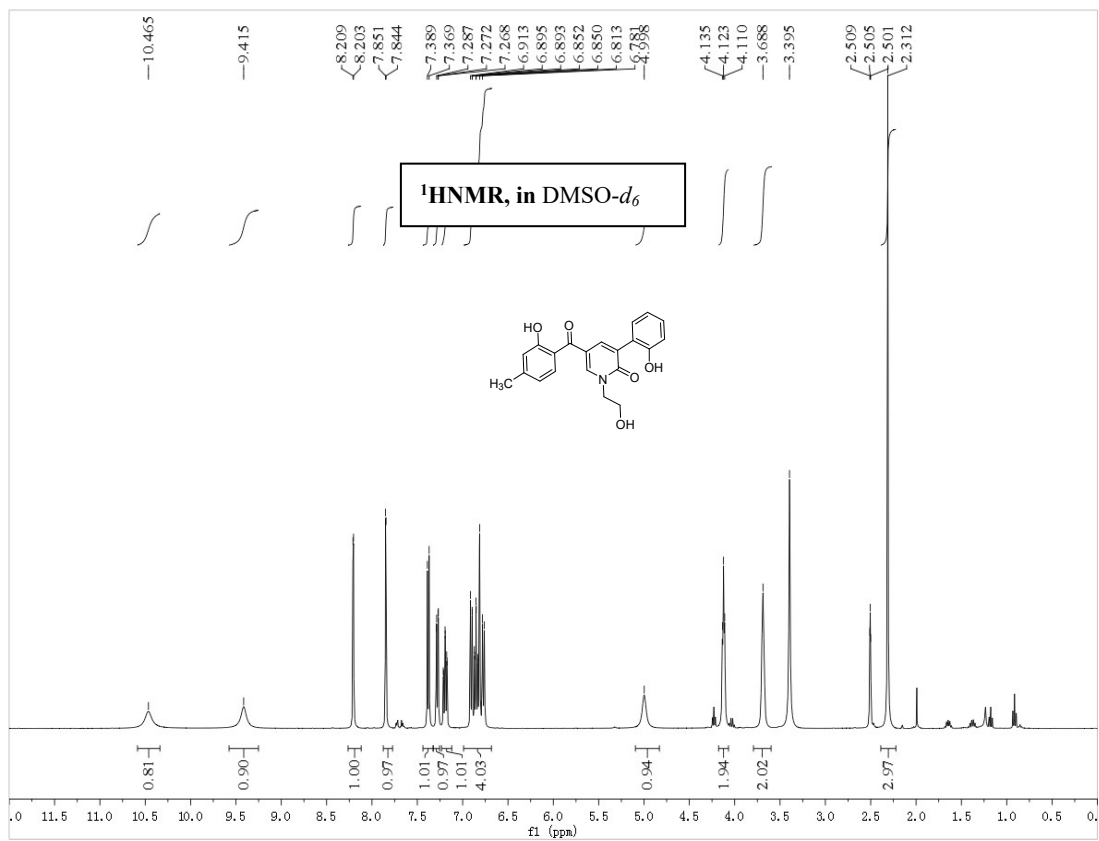
^1H and ^{13}C NMR of 3cc



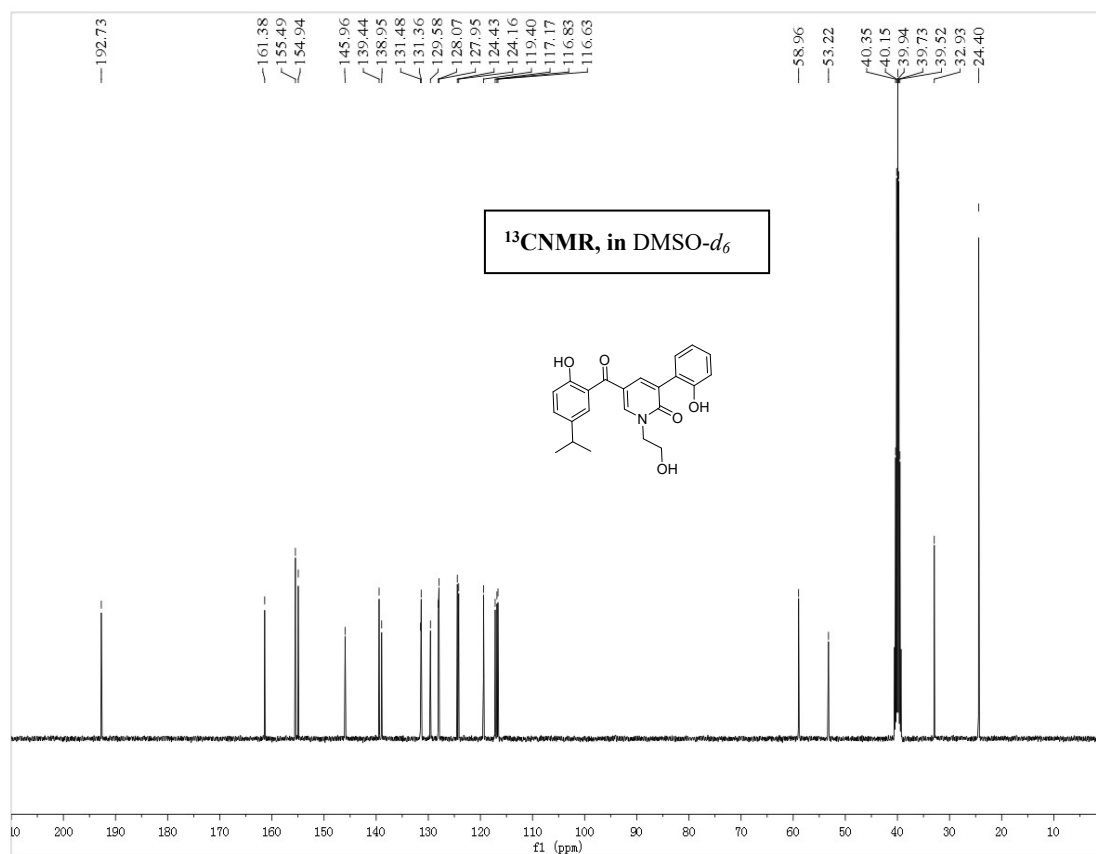
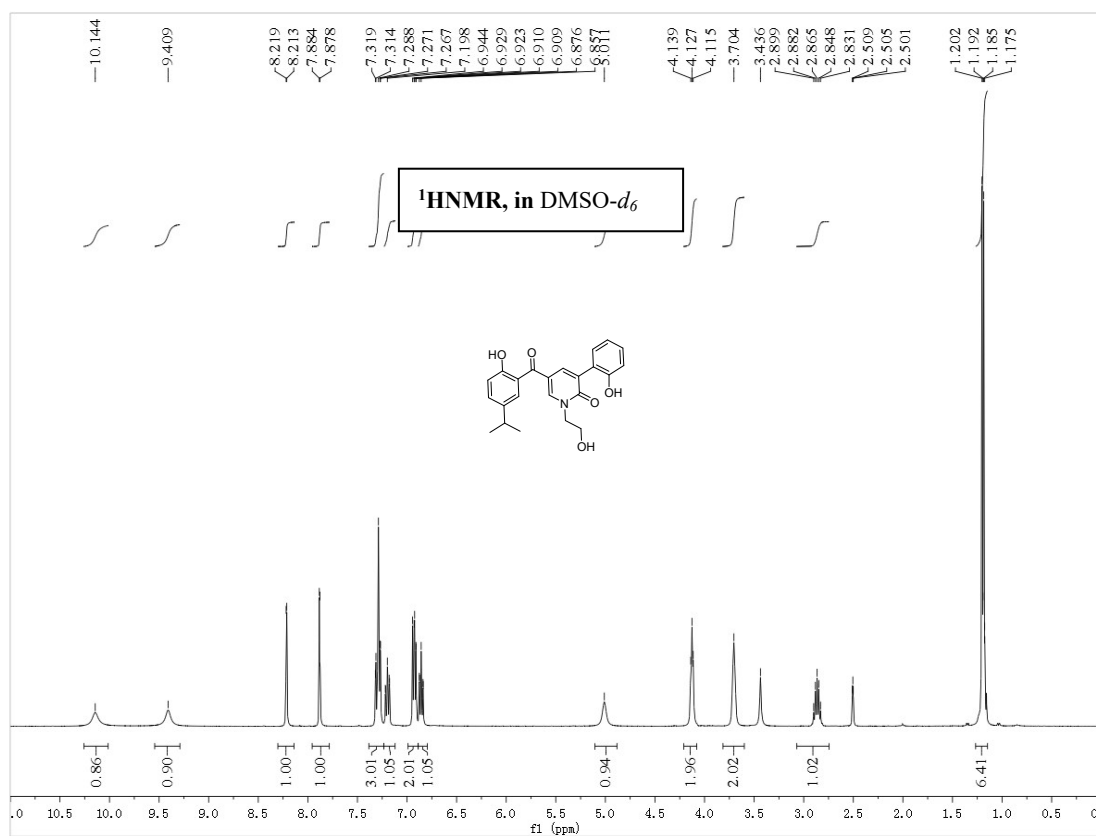
¹H and ¹³C NMR of 3cd



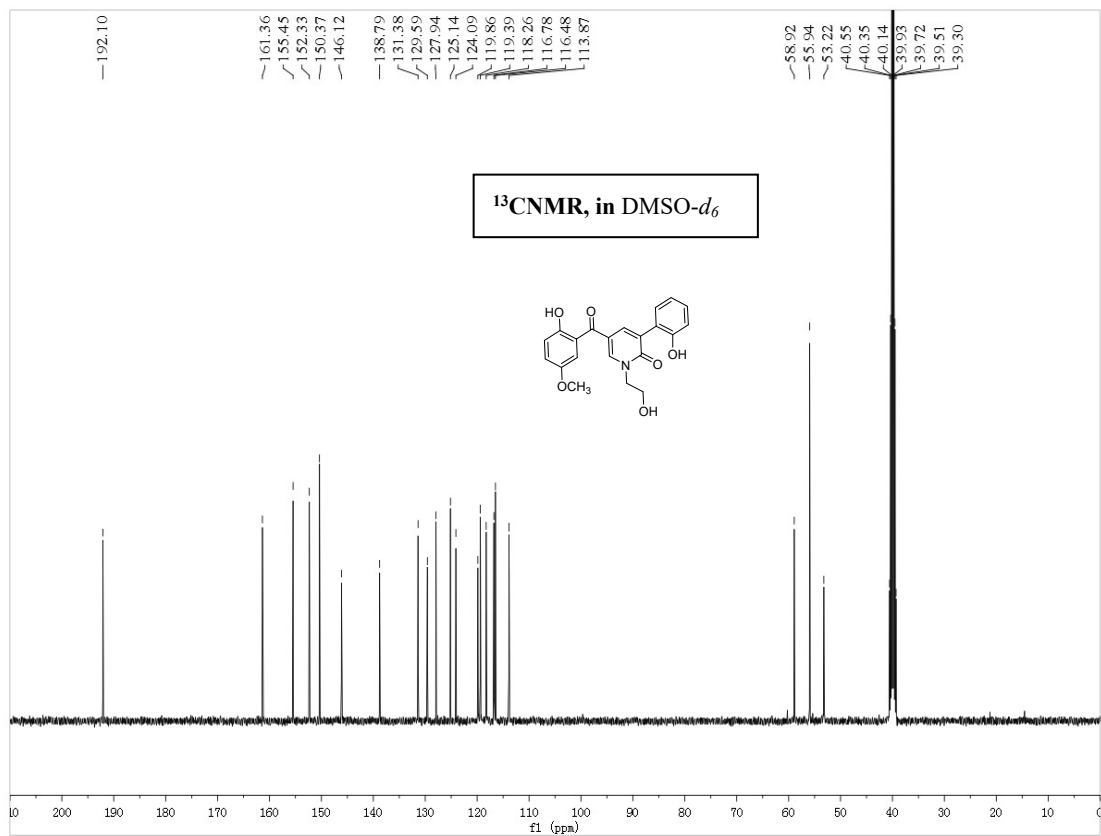
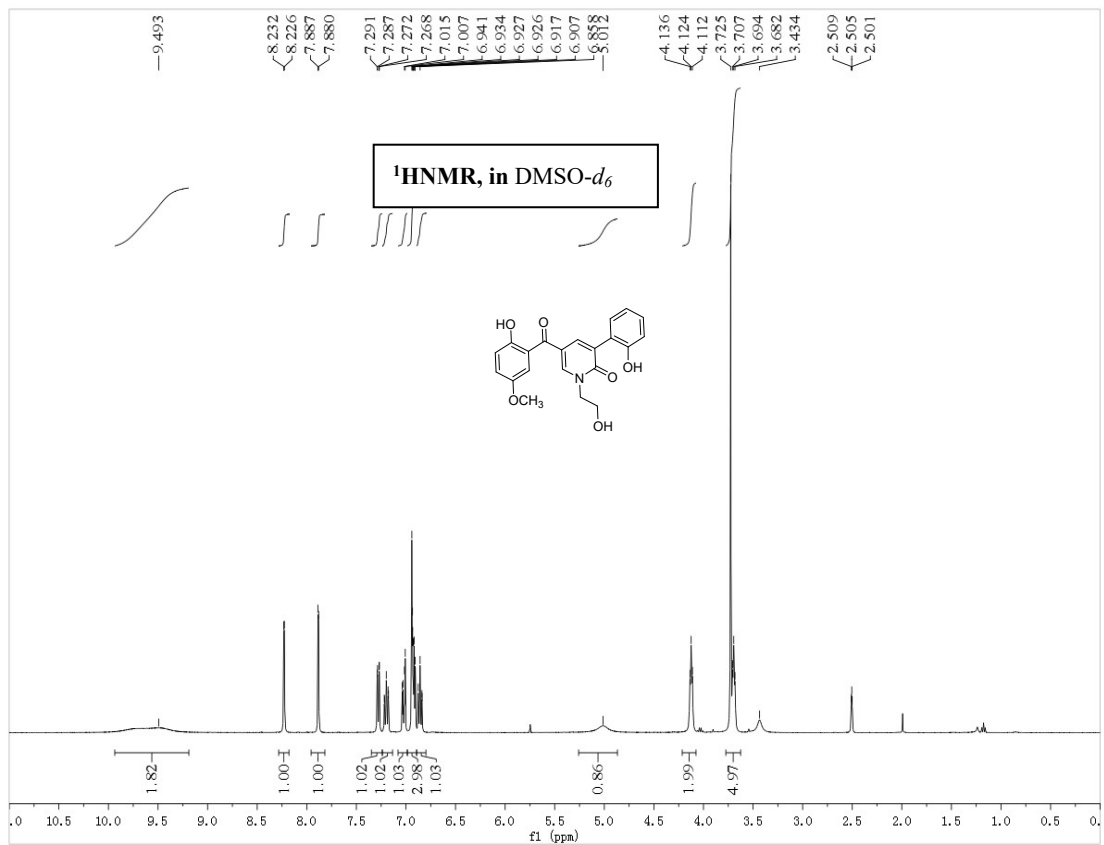
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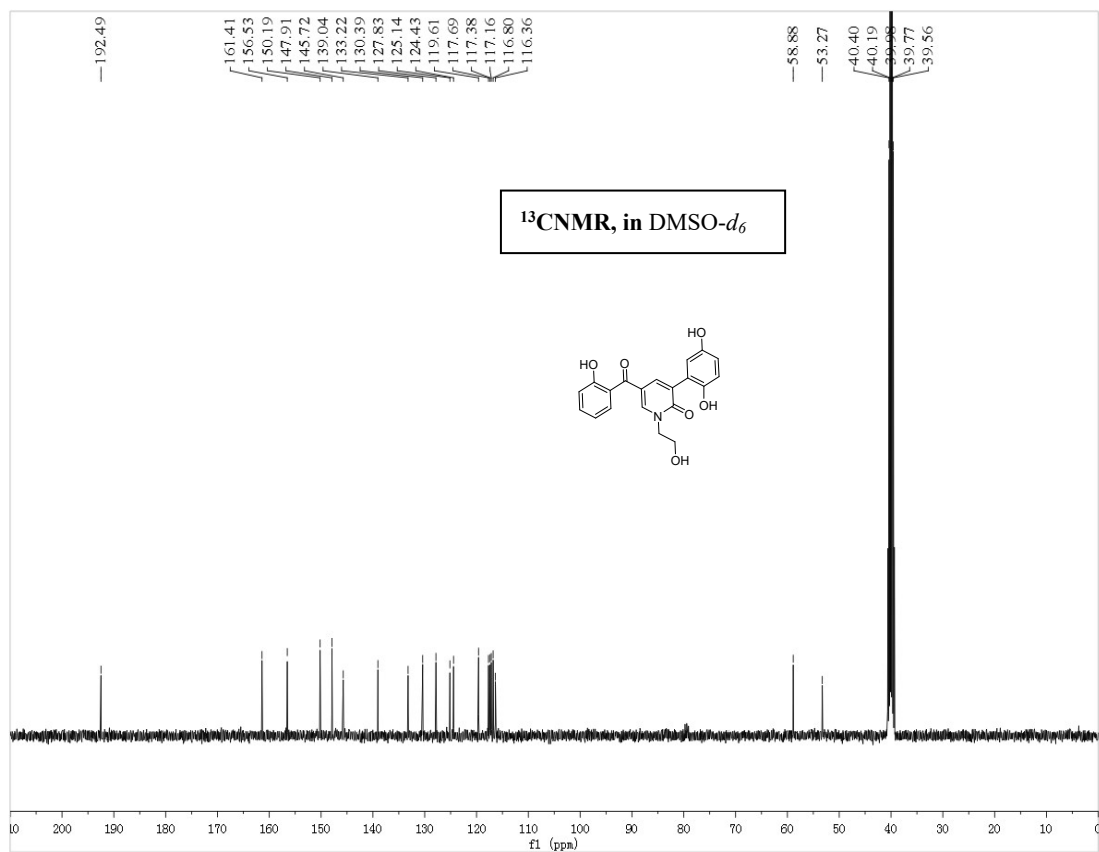
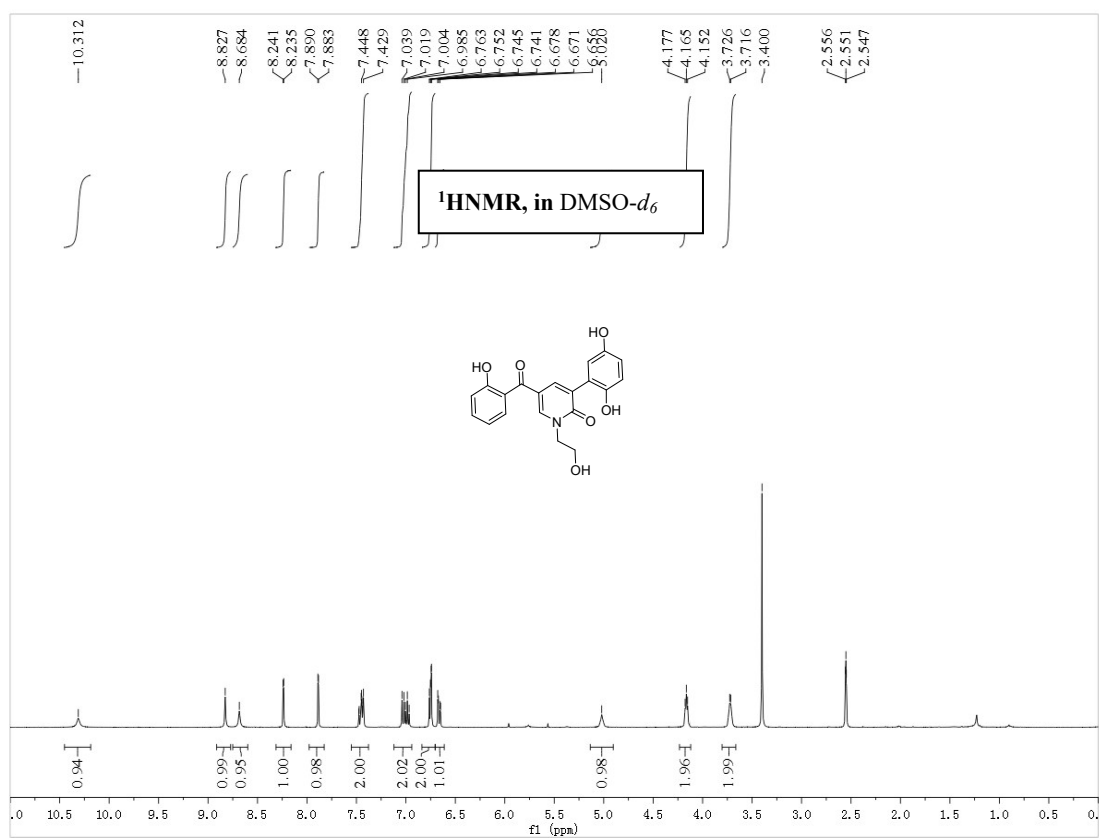
¹H and ¹³C NMR of 3cf



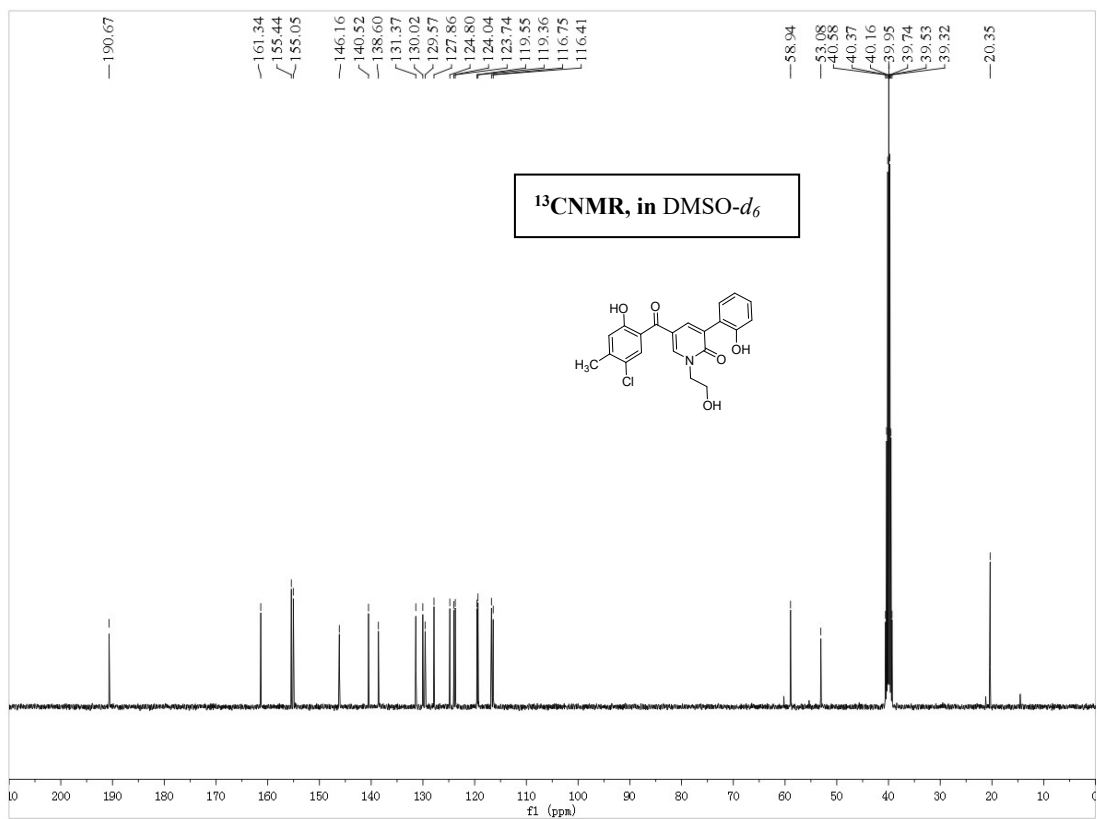
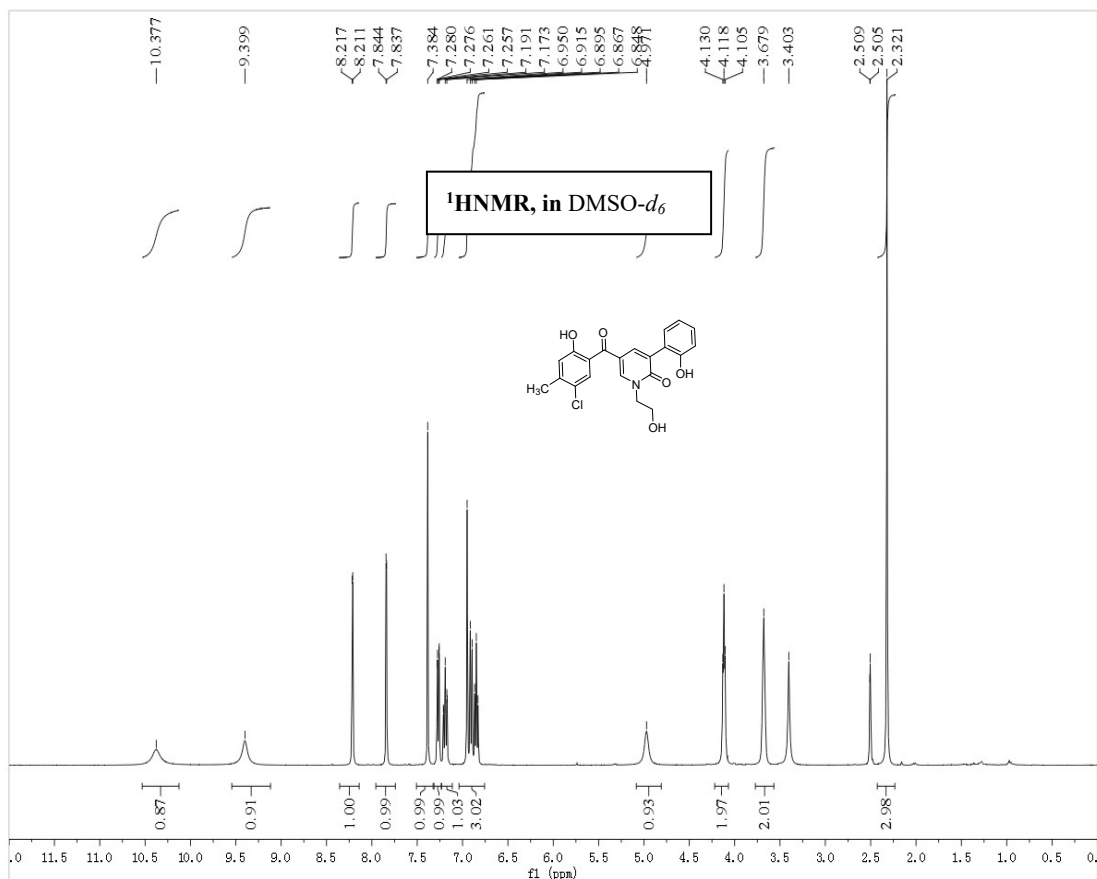
¹H and ¹³C NMR of 3cg



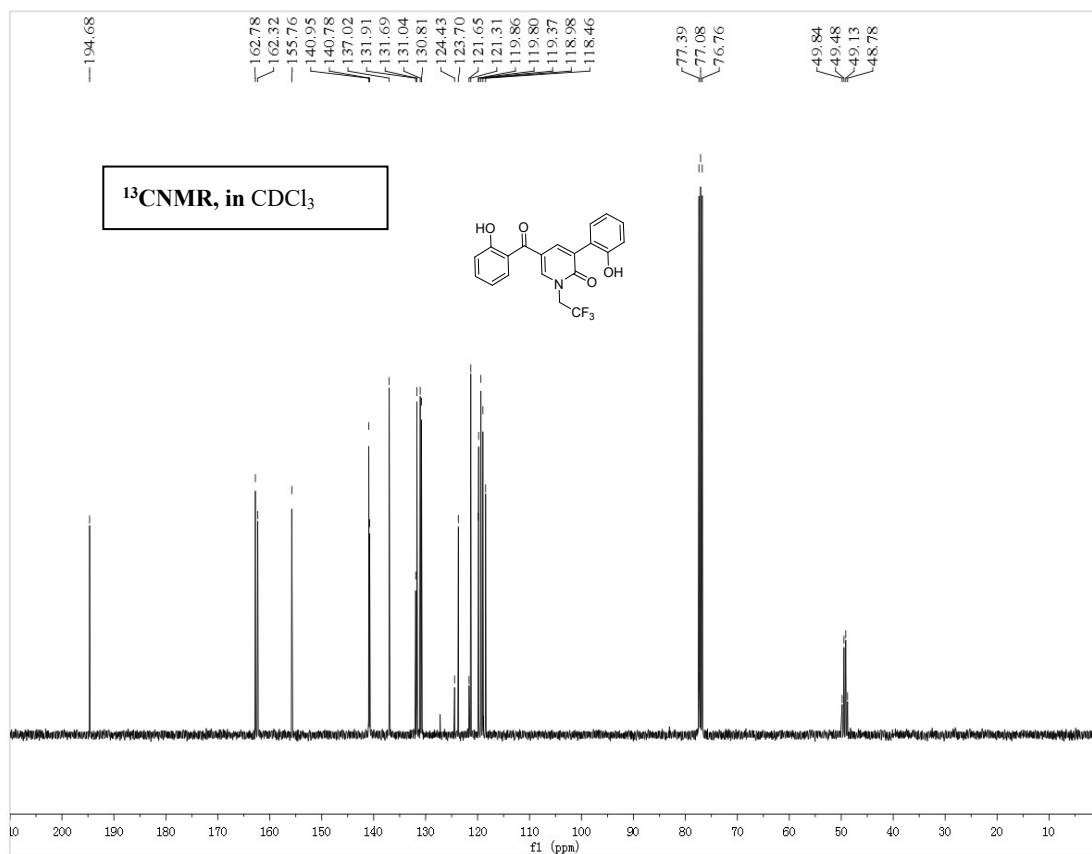
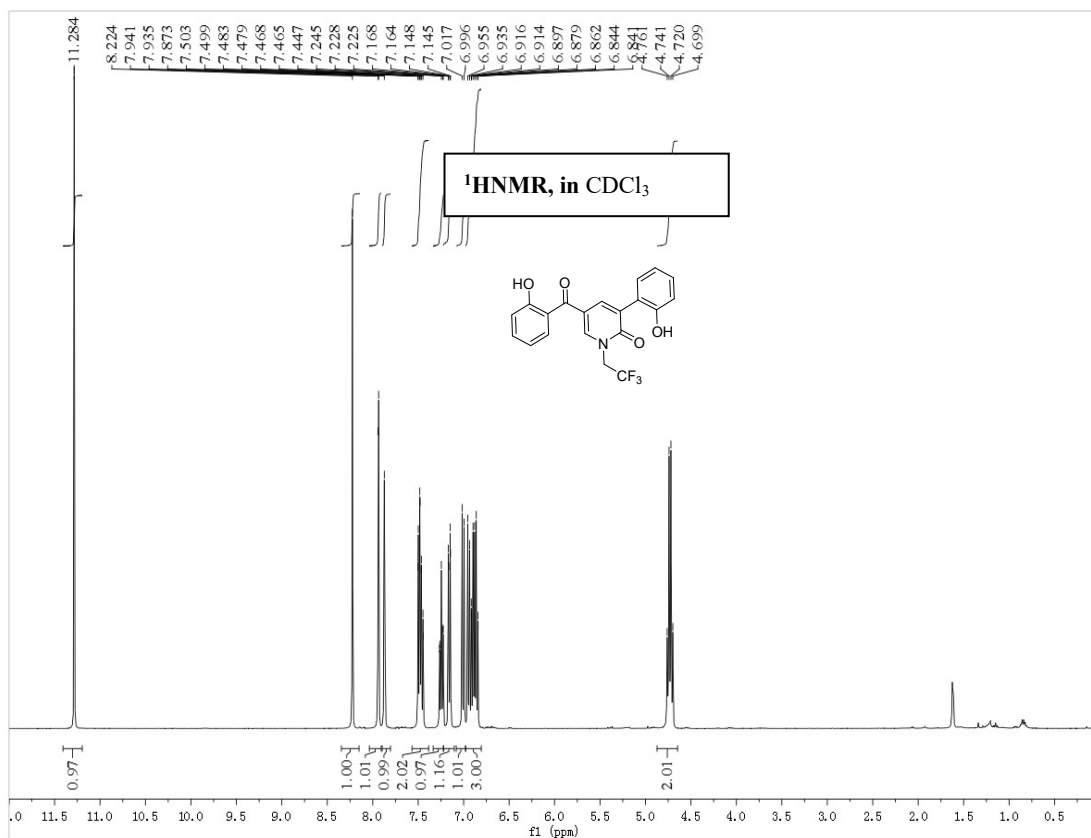
^1H and ^{13}C NMR of 3ch



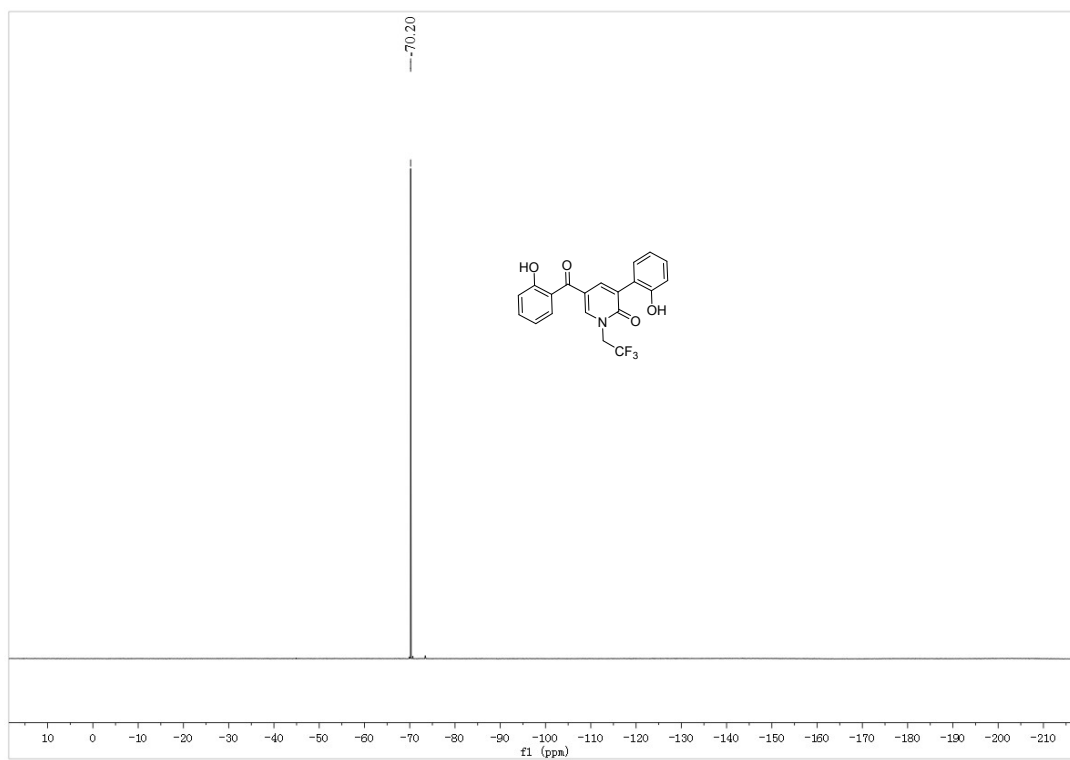
^1H and ^{13}C NMR of 3ci



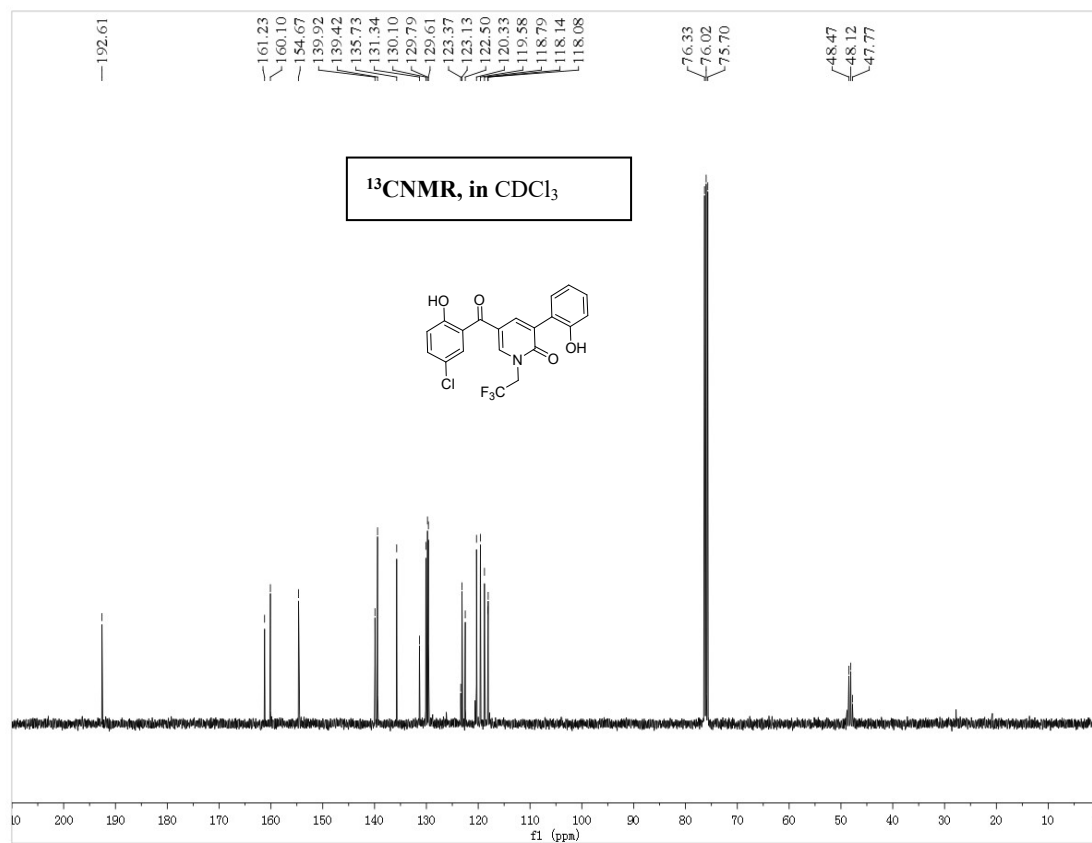
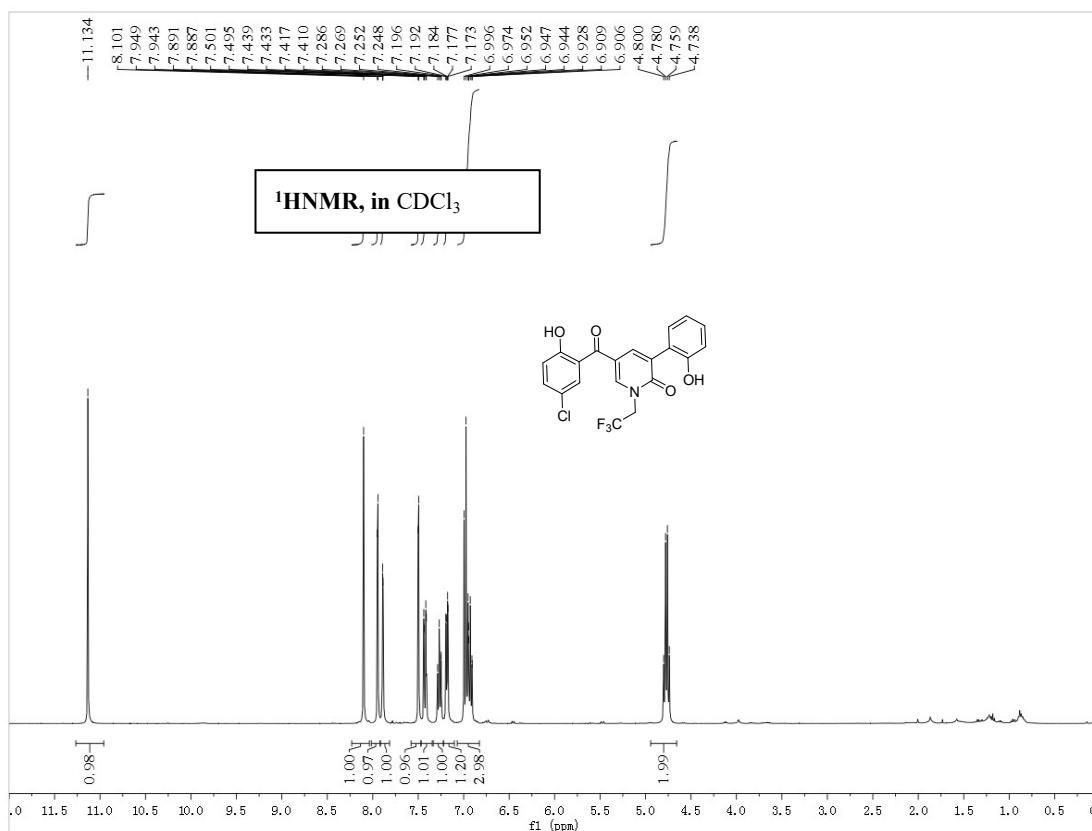
^1H and ^{13}C NMR of 3da



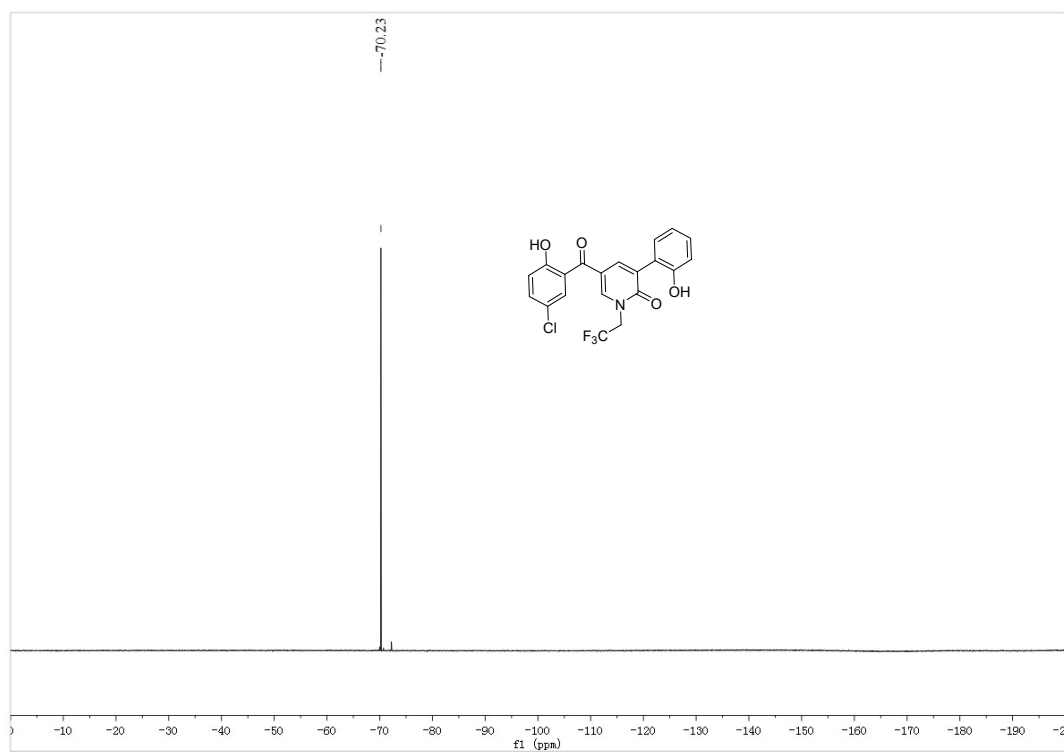
¹⁹F NMR of 3da



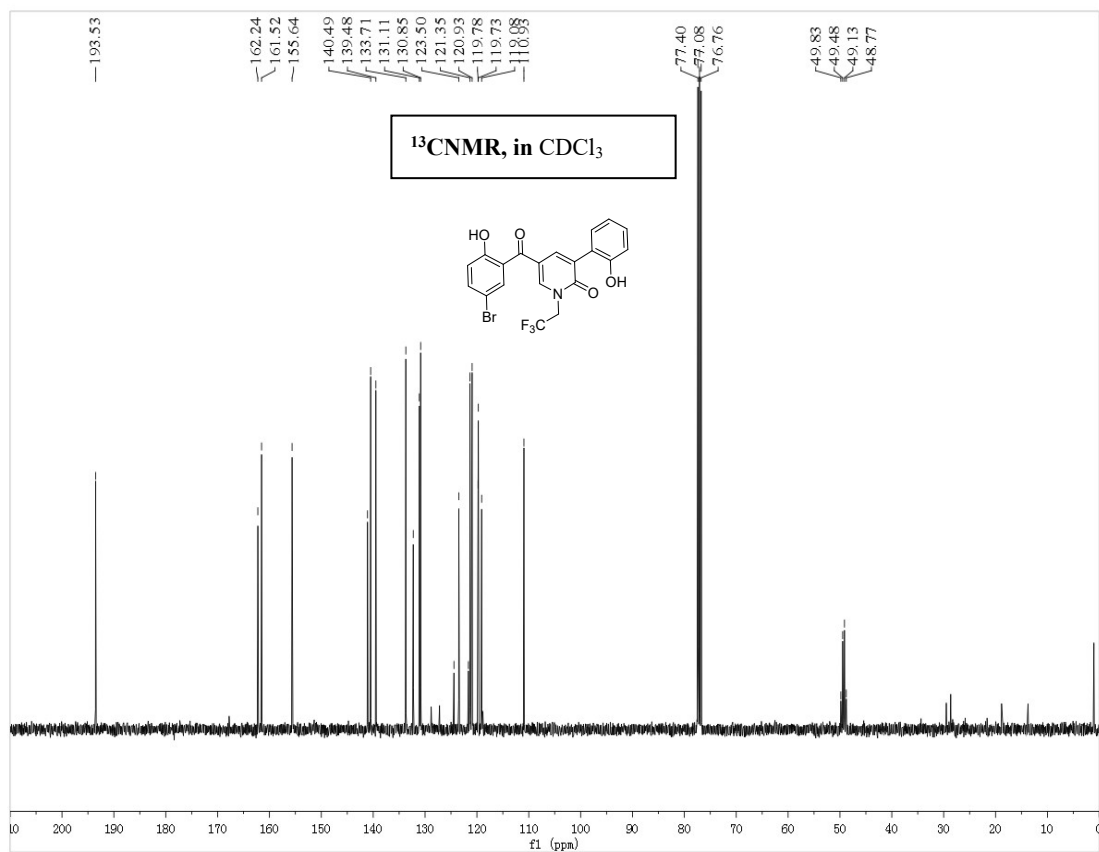
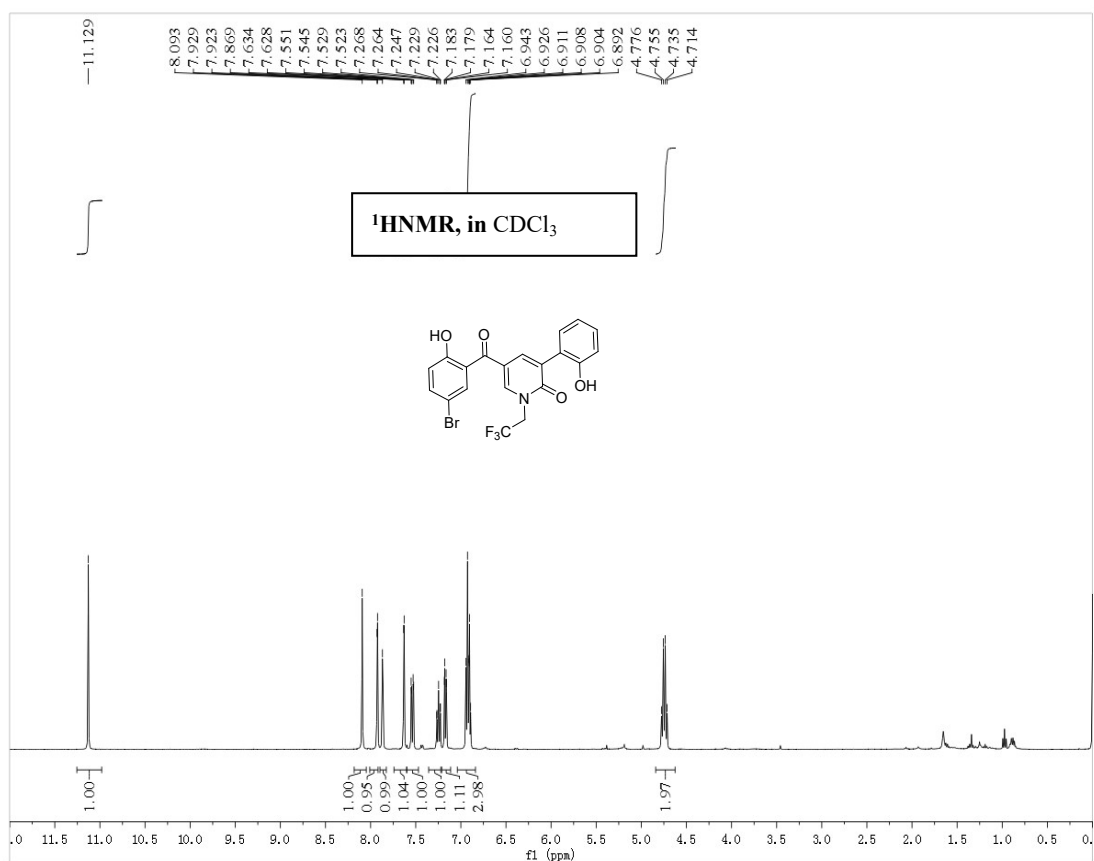
^1H and ^{13}C NMR of 3db



¹⁹F NMR of 3db



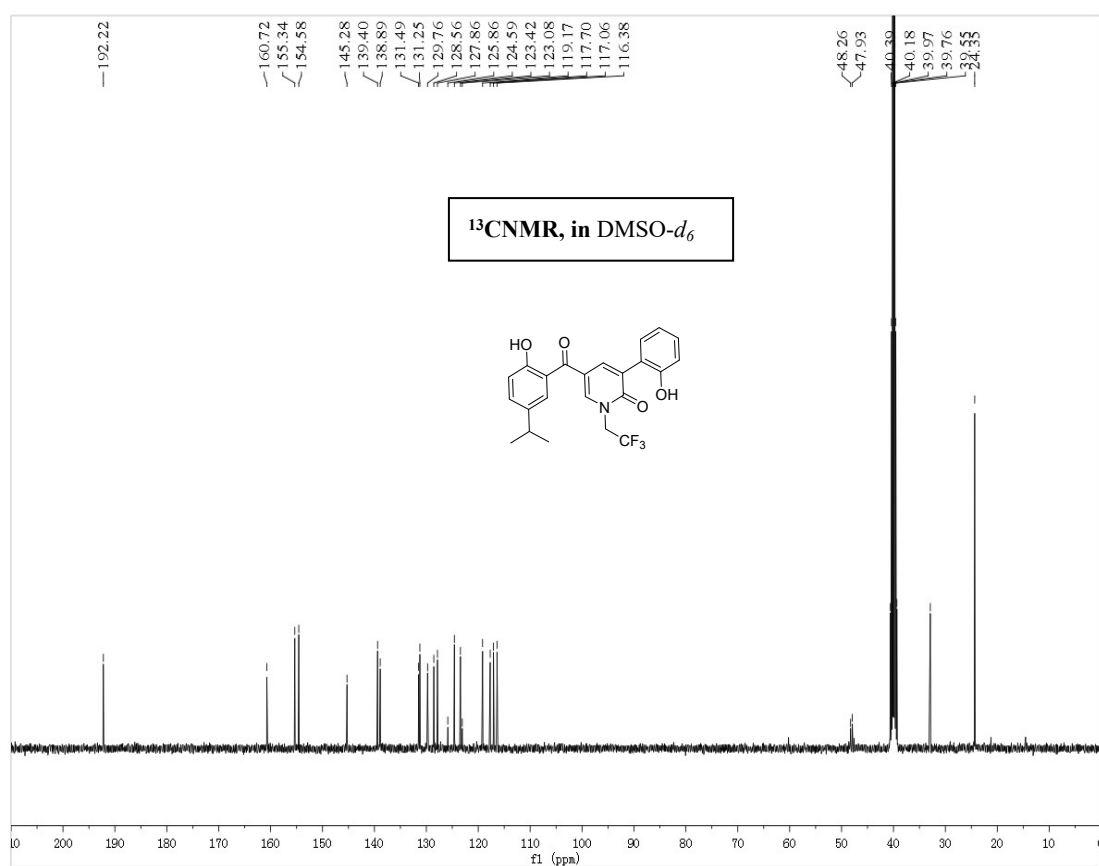
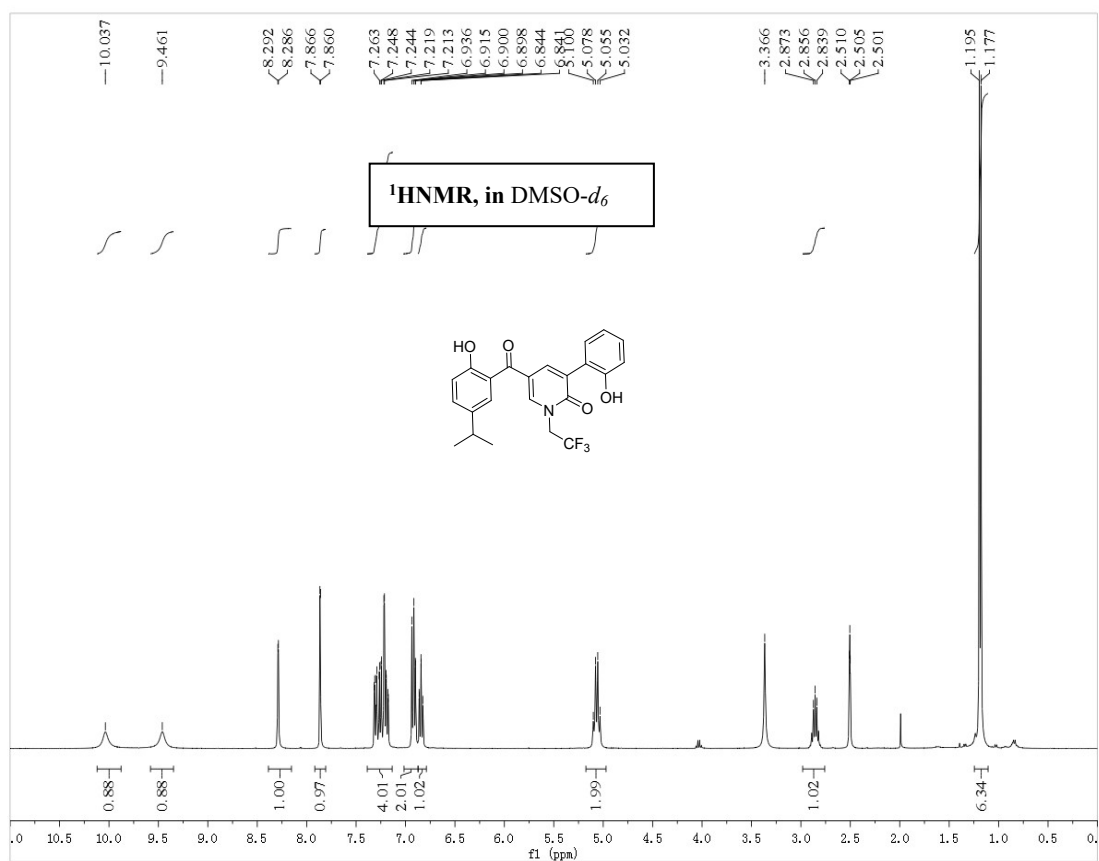
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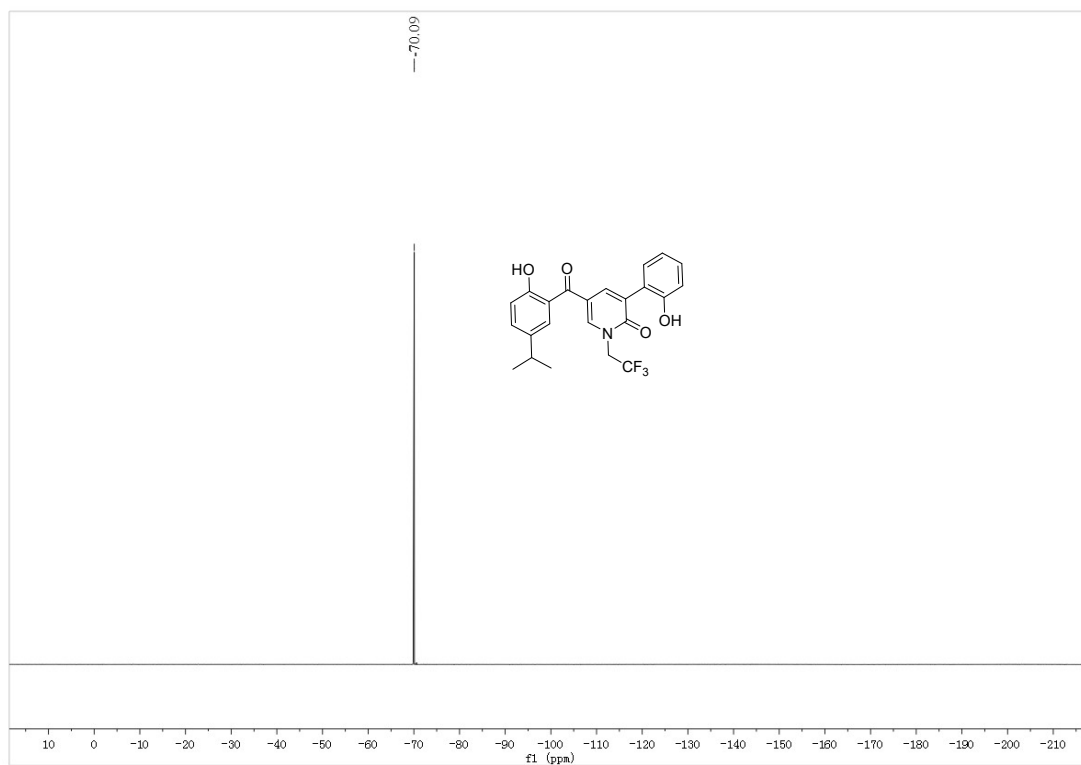
¹⁹F NMR of 3dc



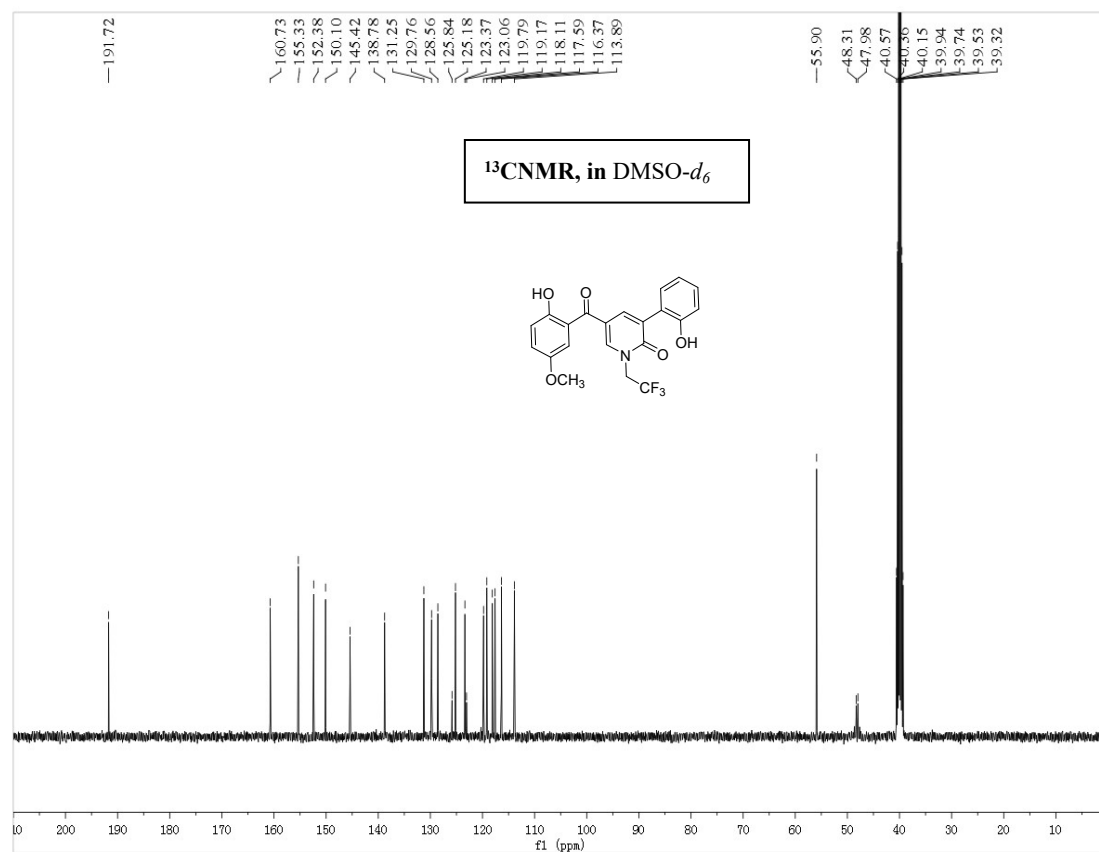
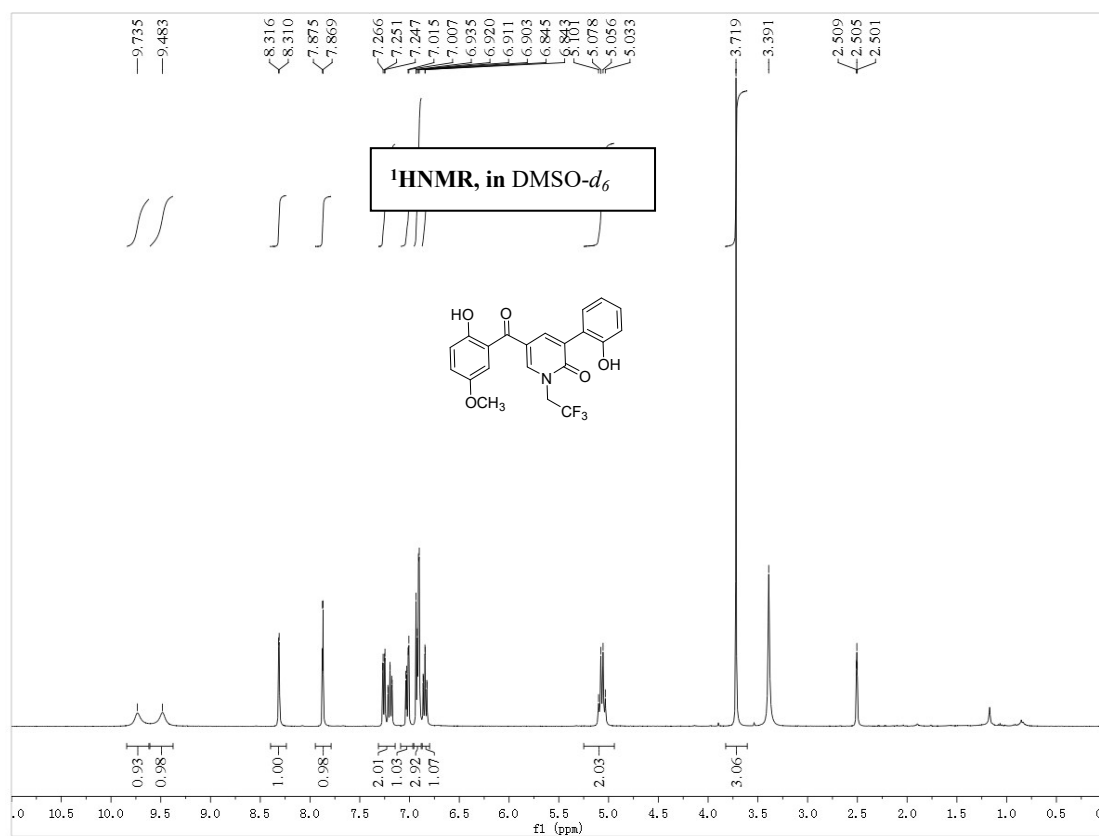
¹H and ¹³C NMR of 3dd



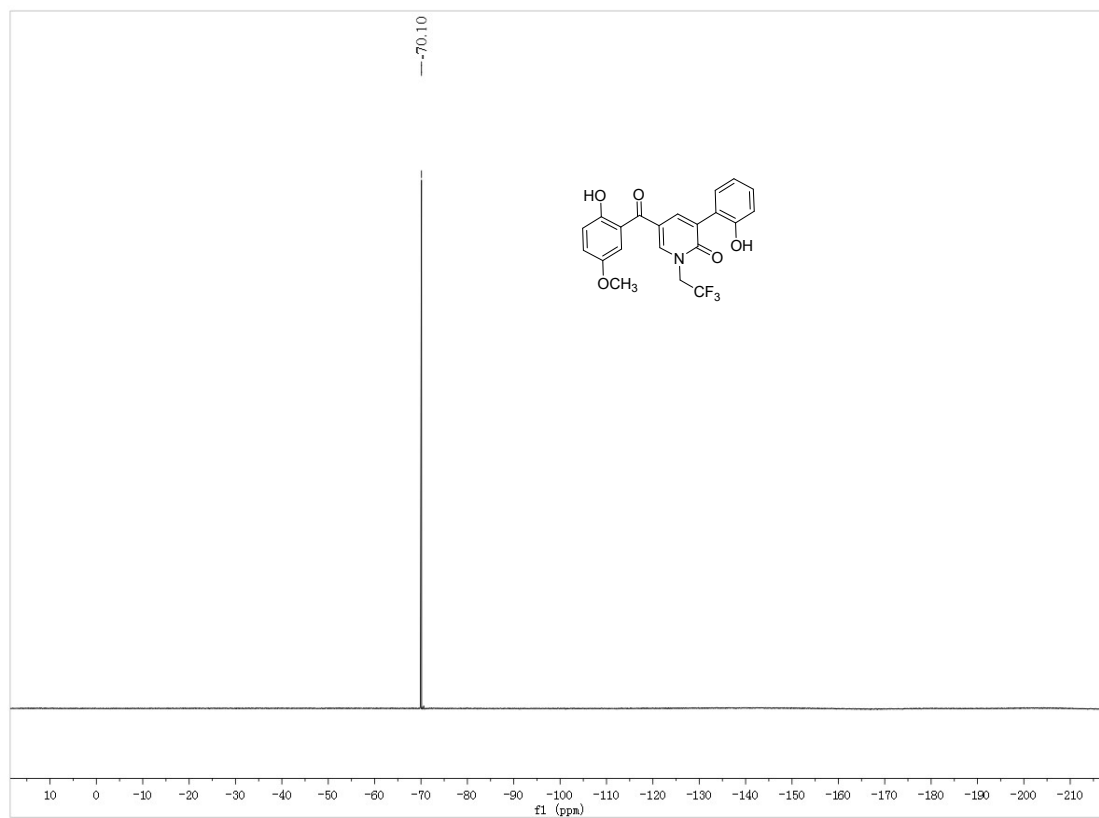
¹⁹F NMR of 3dd



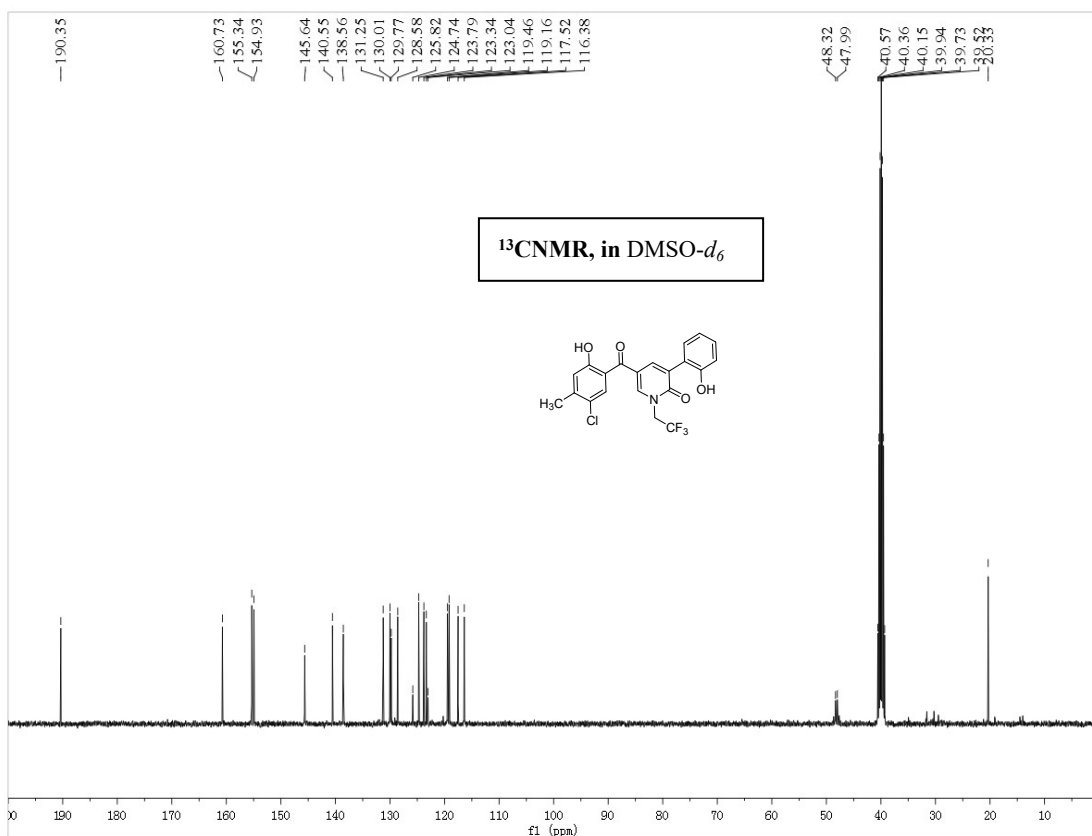
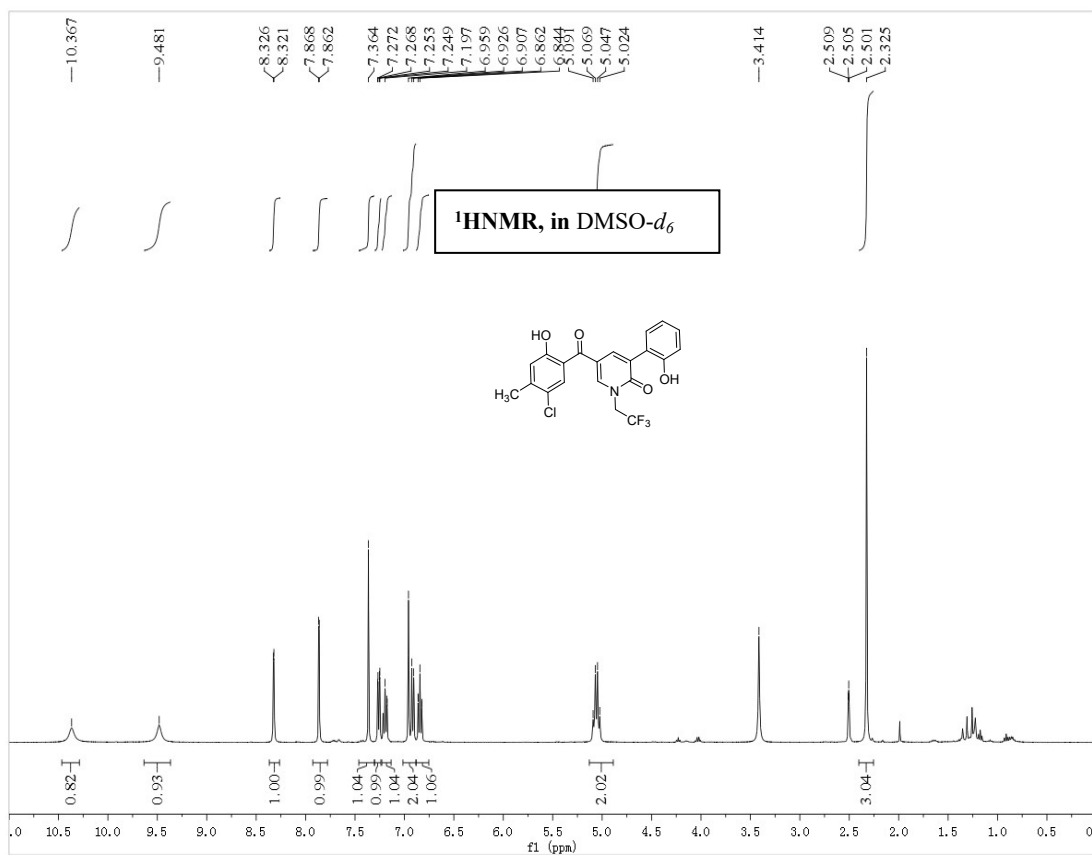
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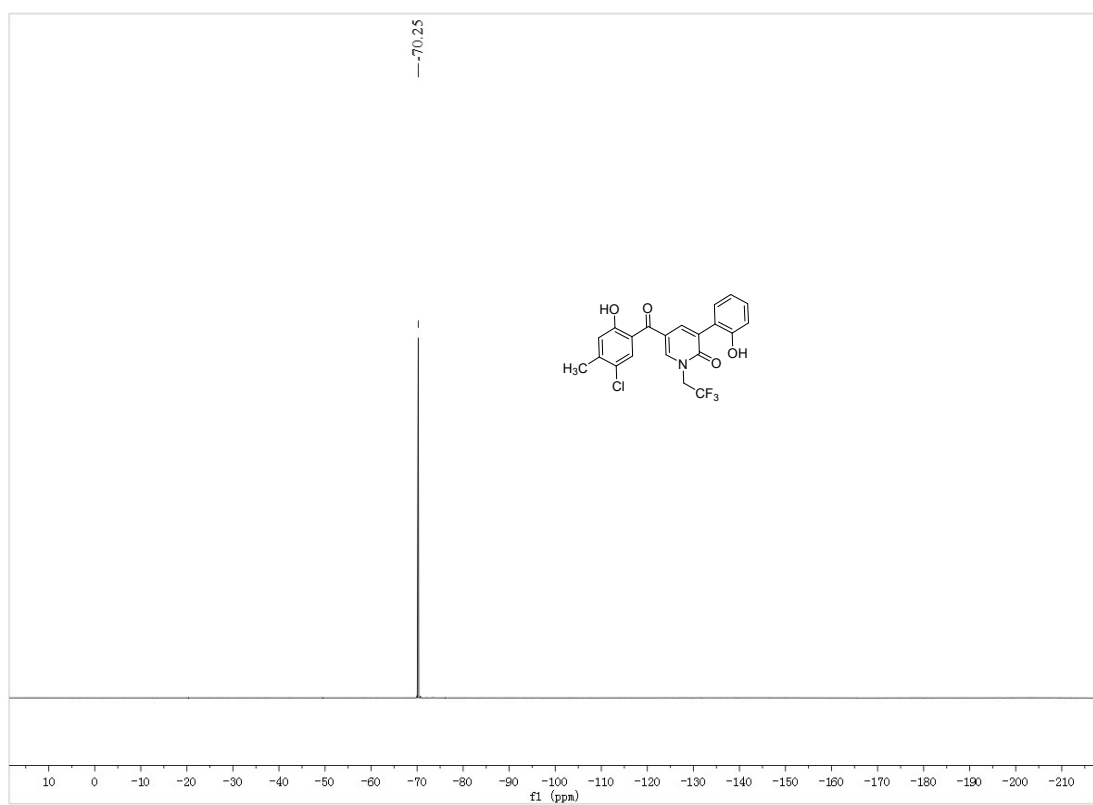
¹⁹F NMR of 3de



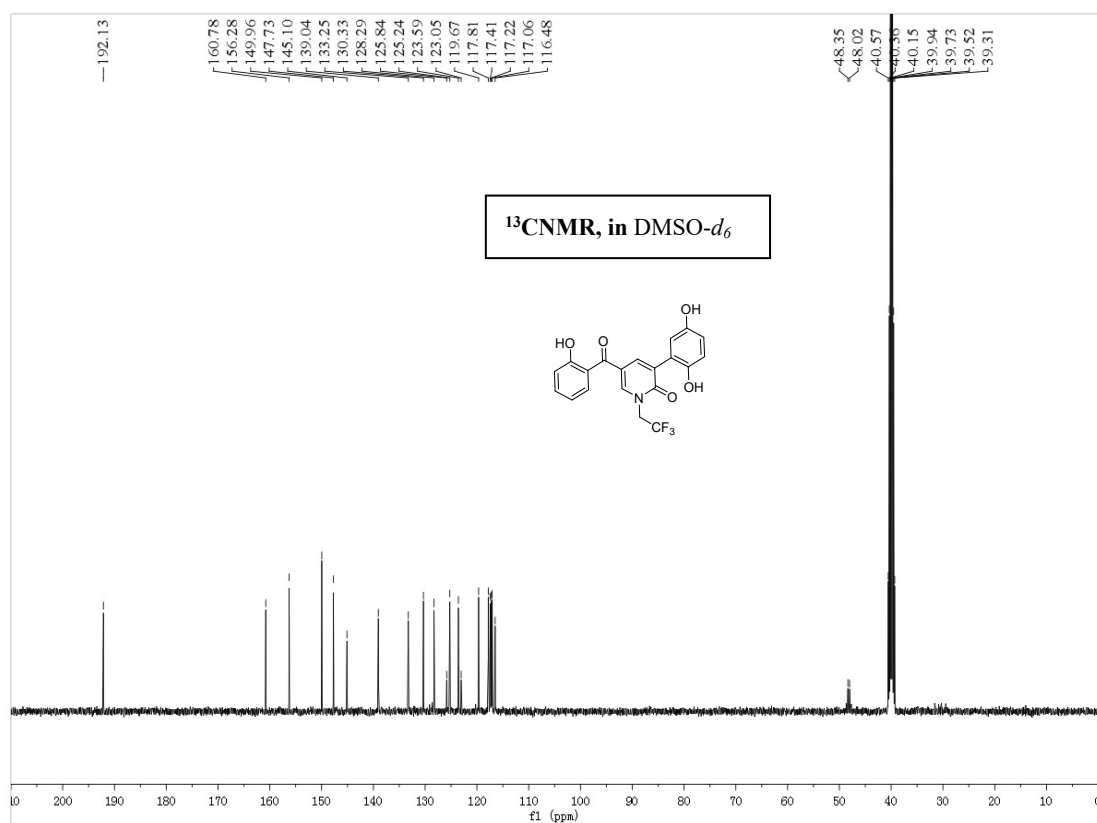
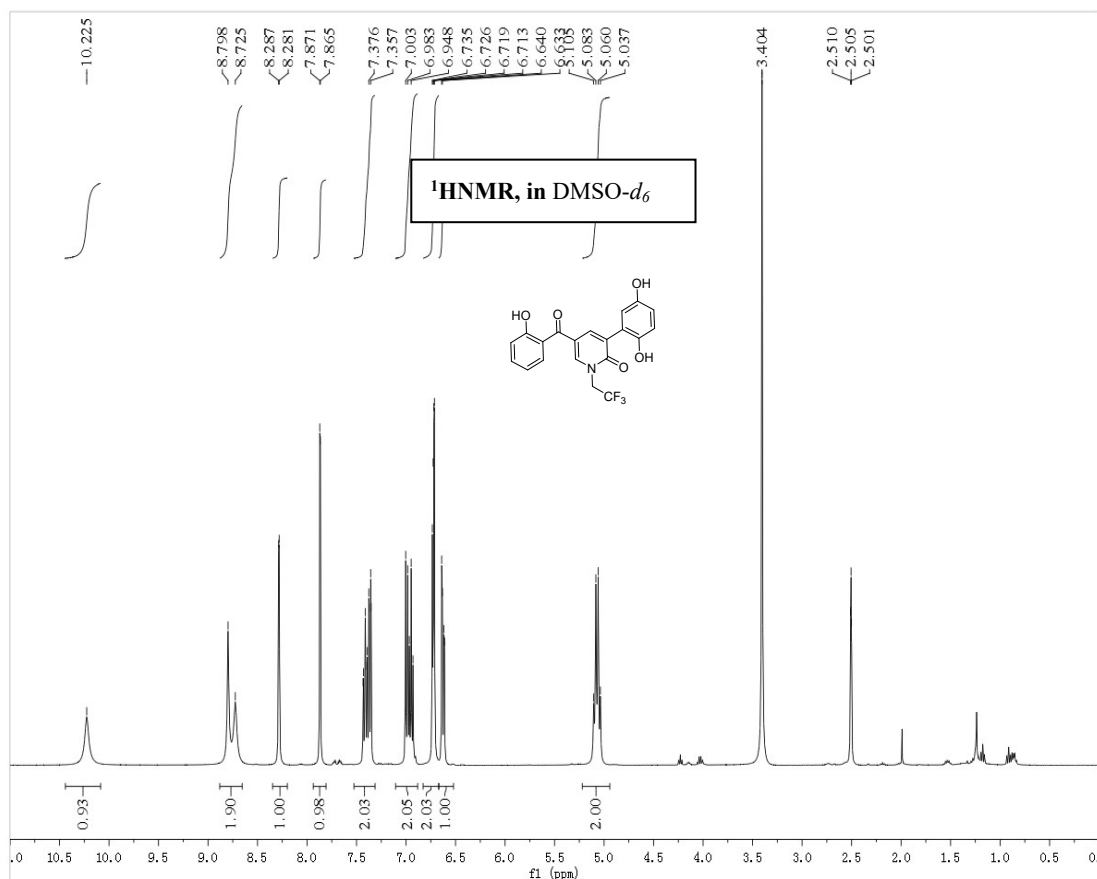
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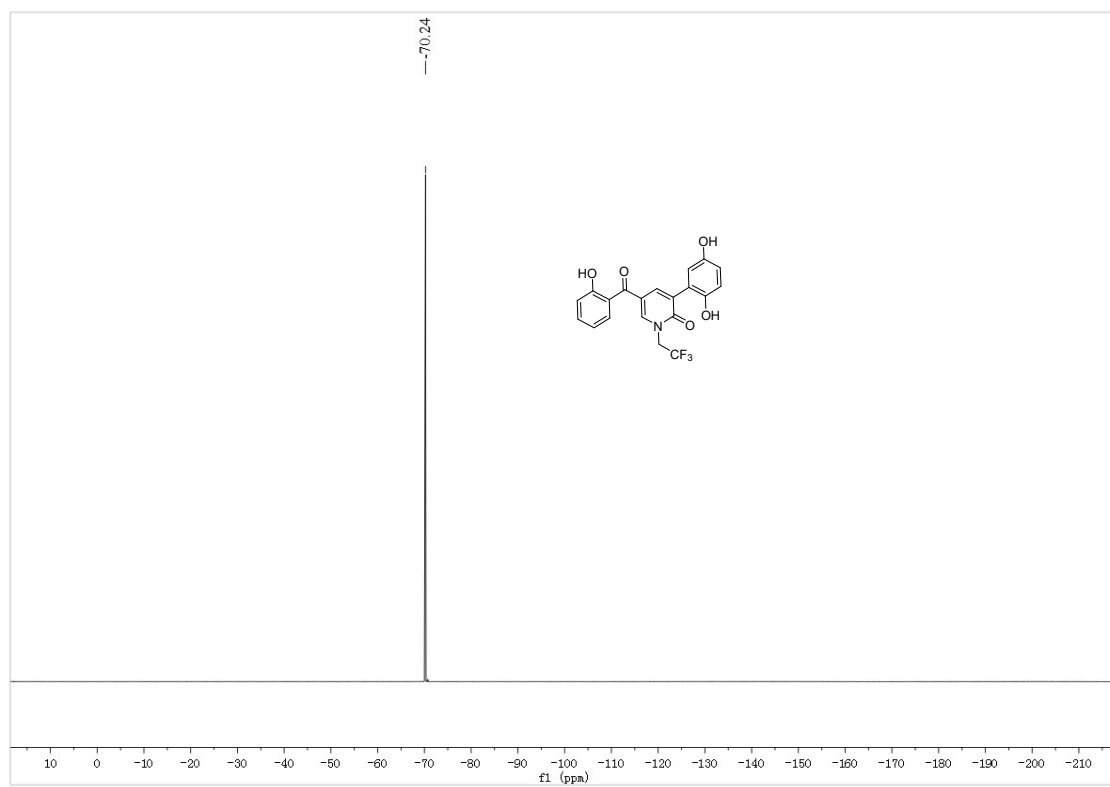
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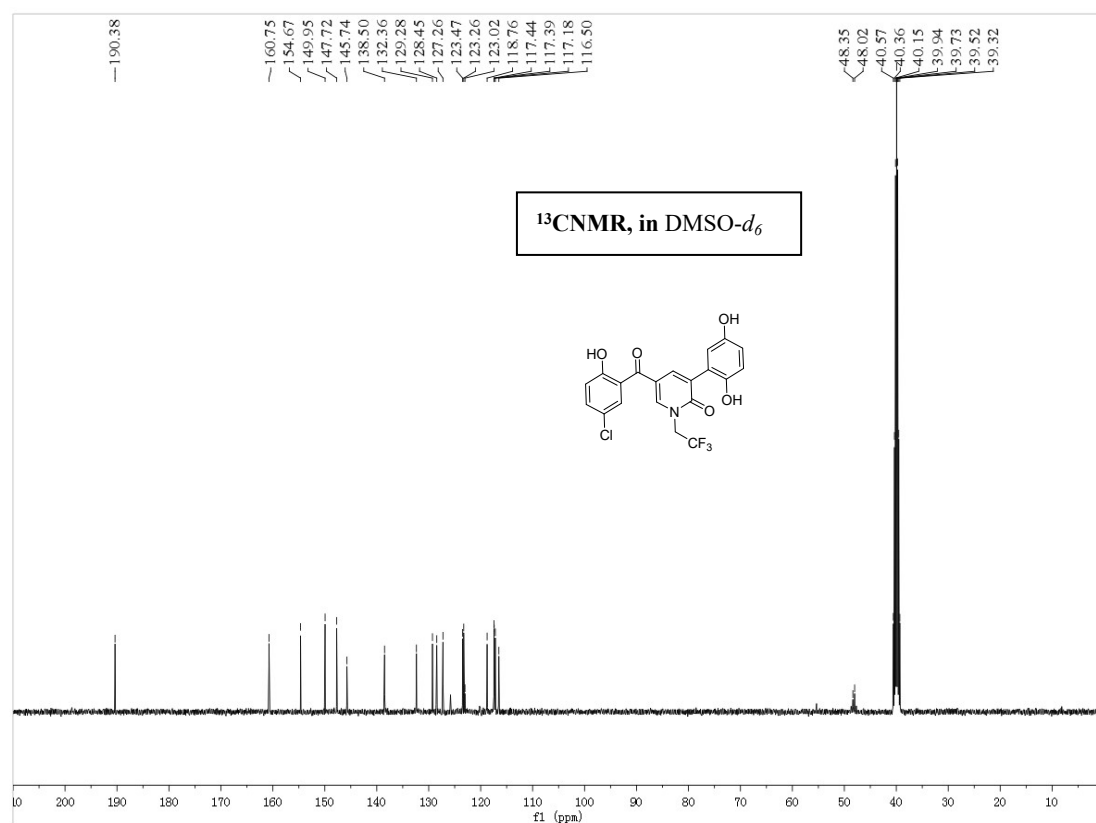
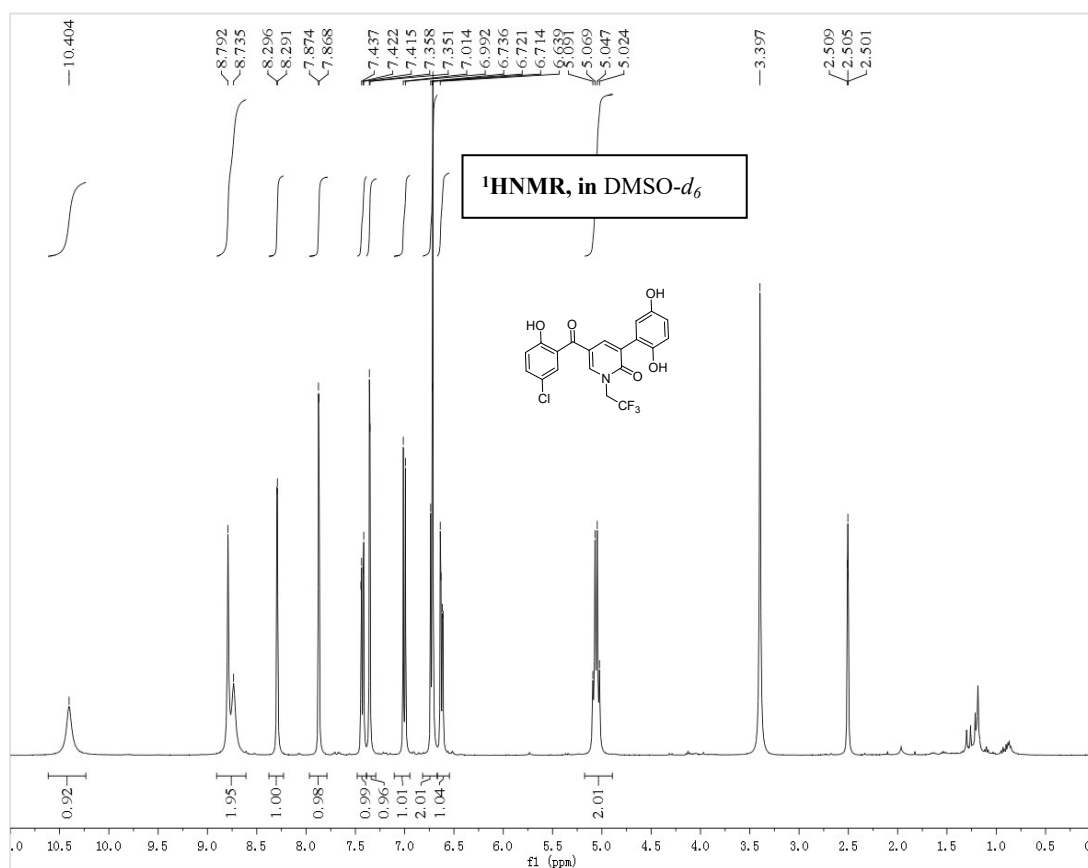
¹H and ¹³C NMR of 3dg



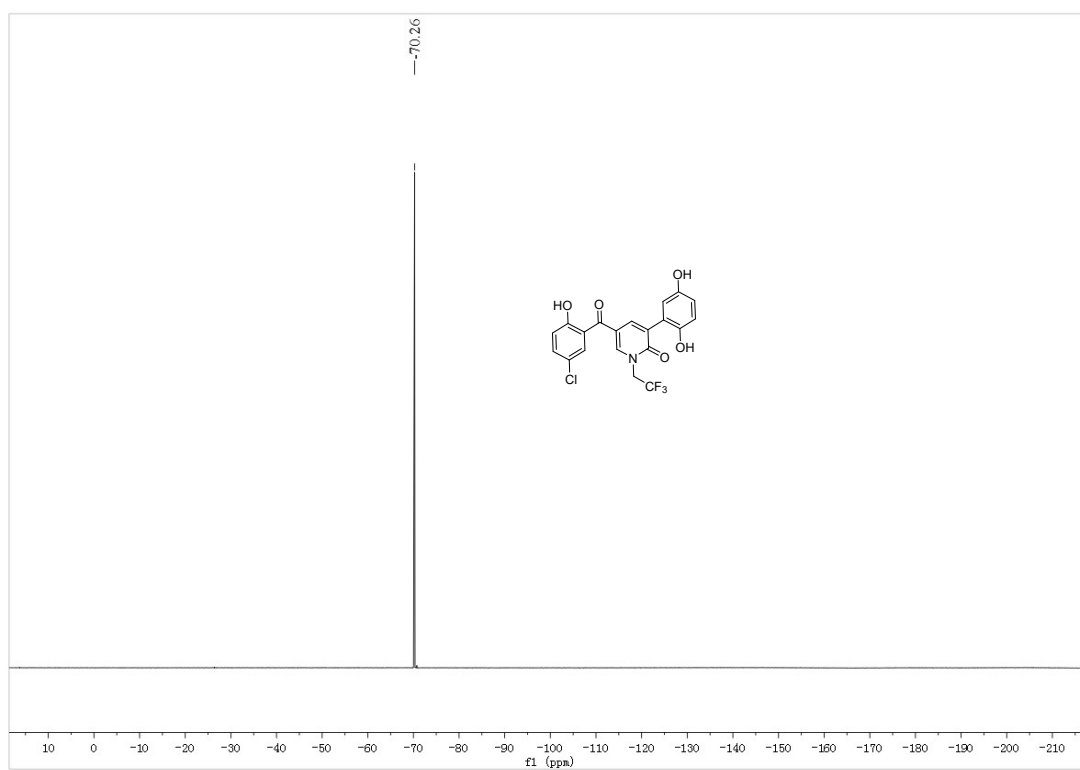
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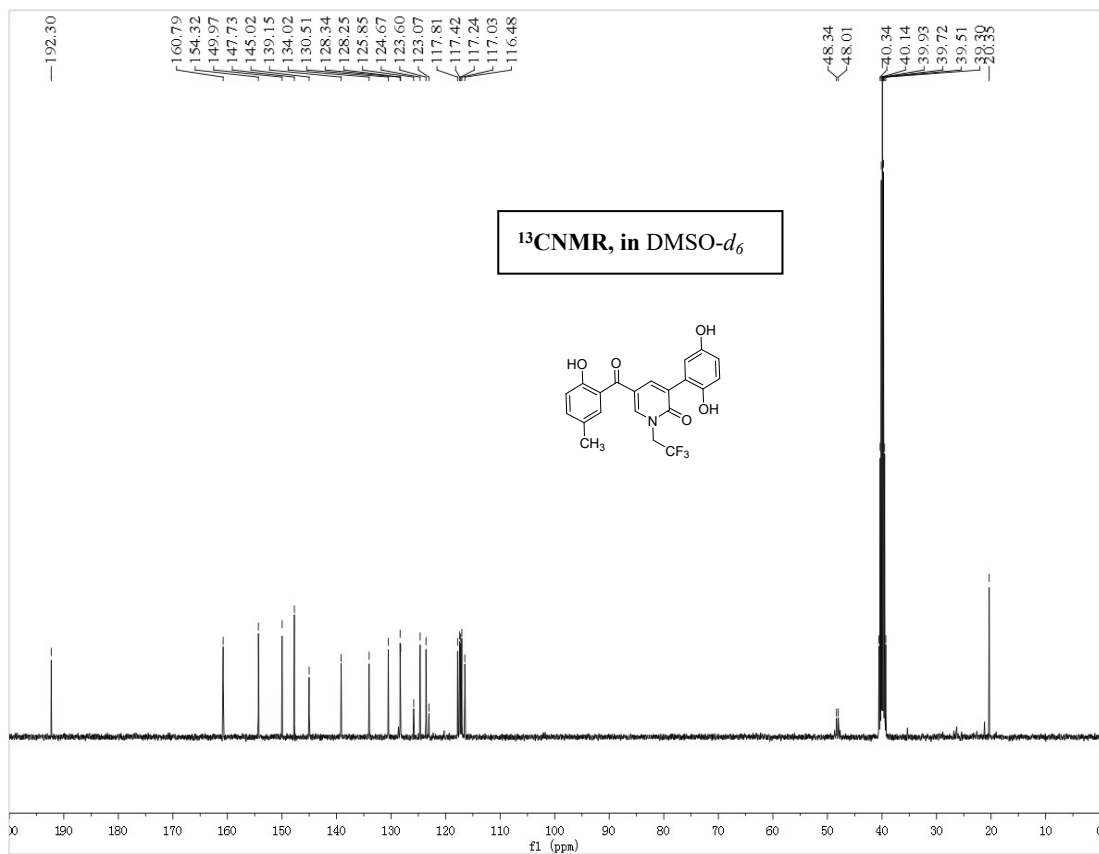
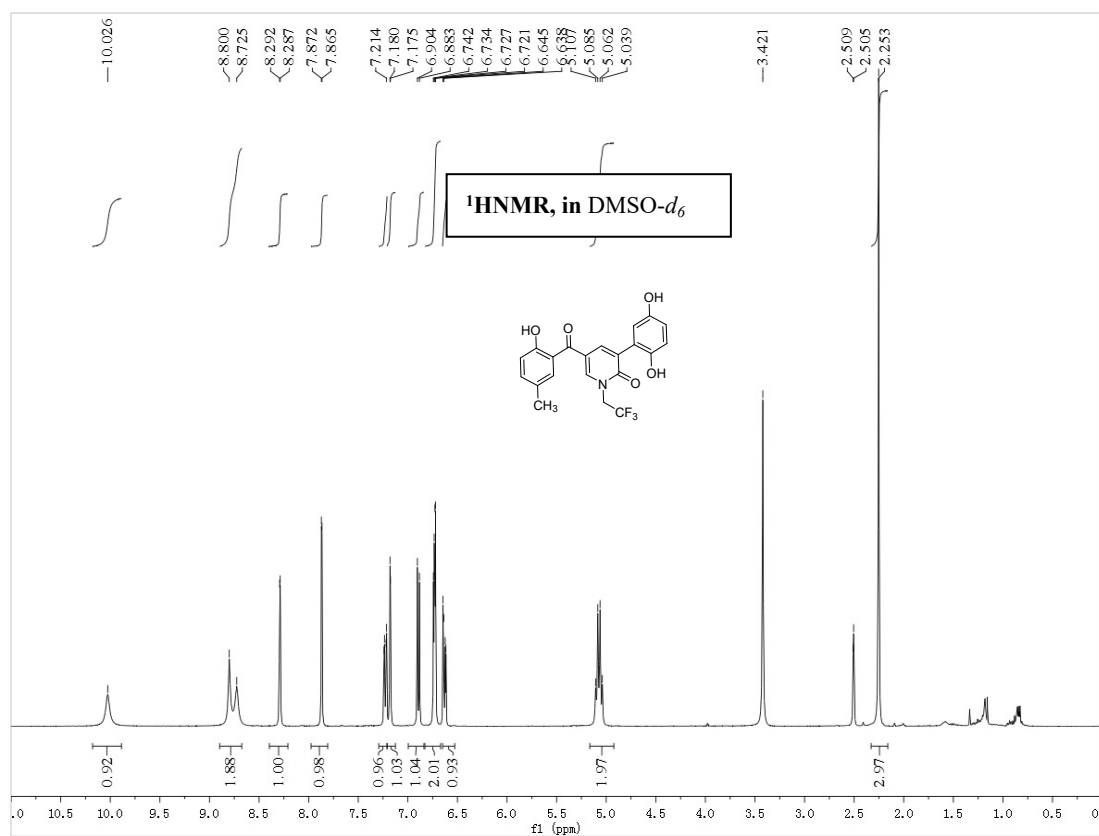
¹H and ¹³C NMR of 3dh



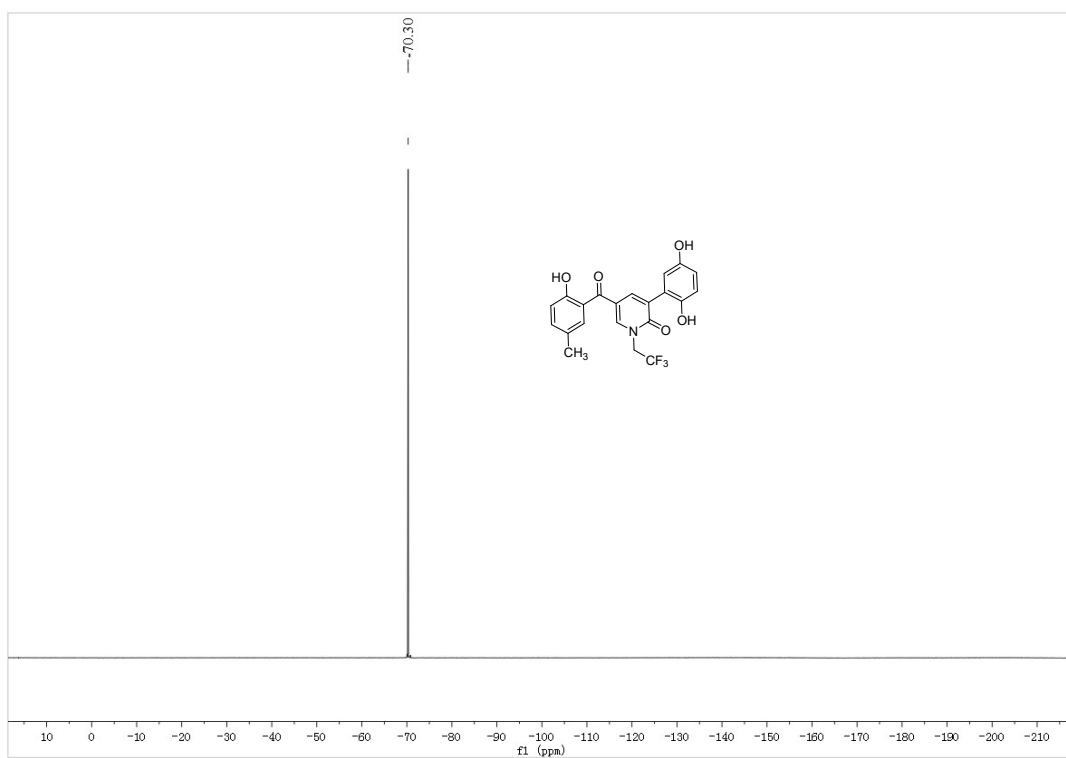
¹⁹F NMR of 3dh



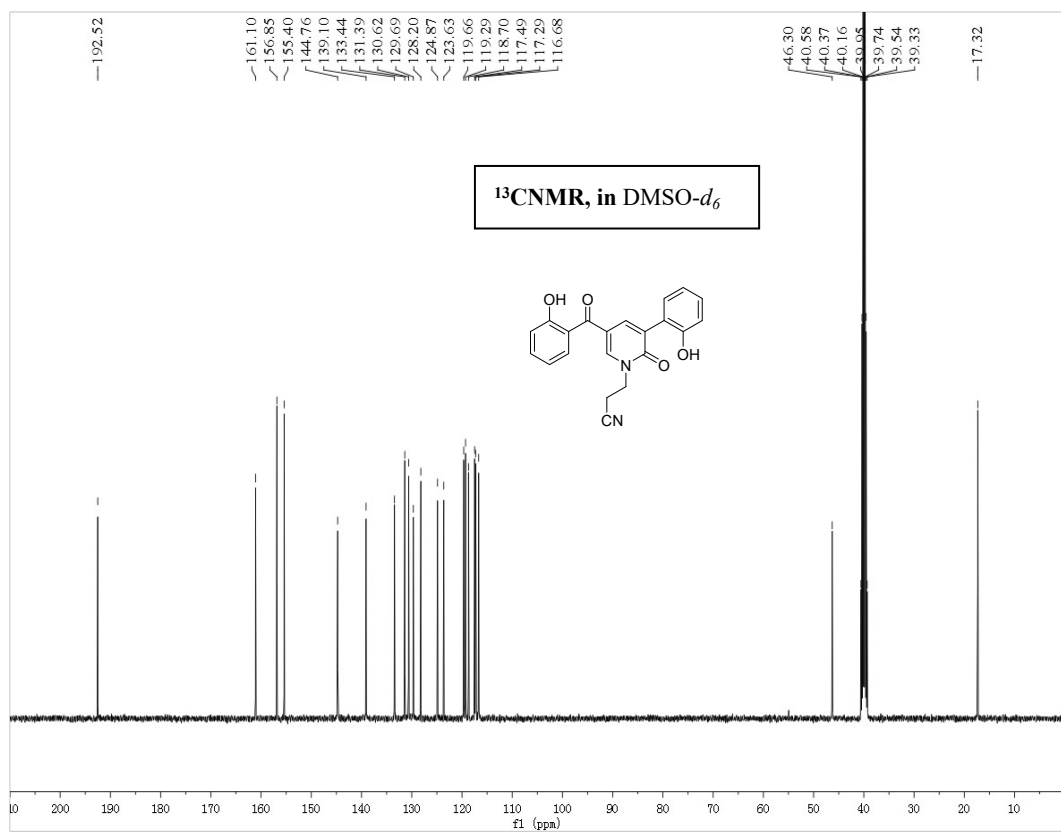
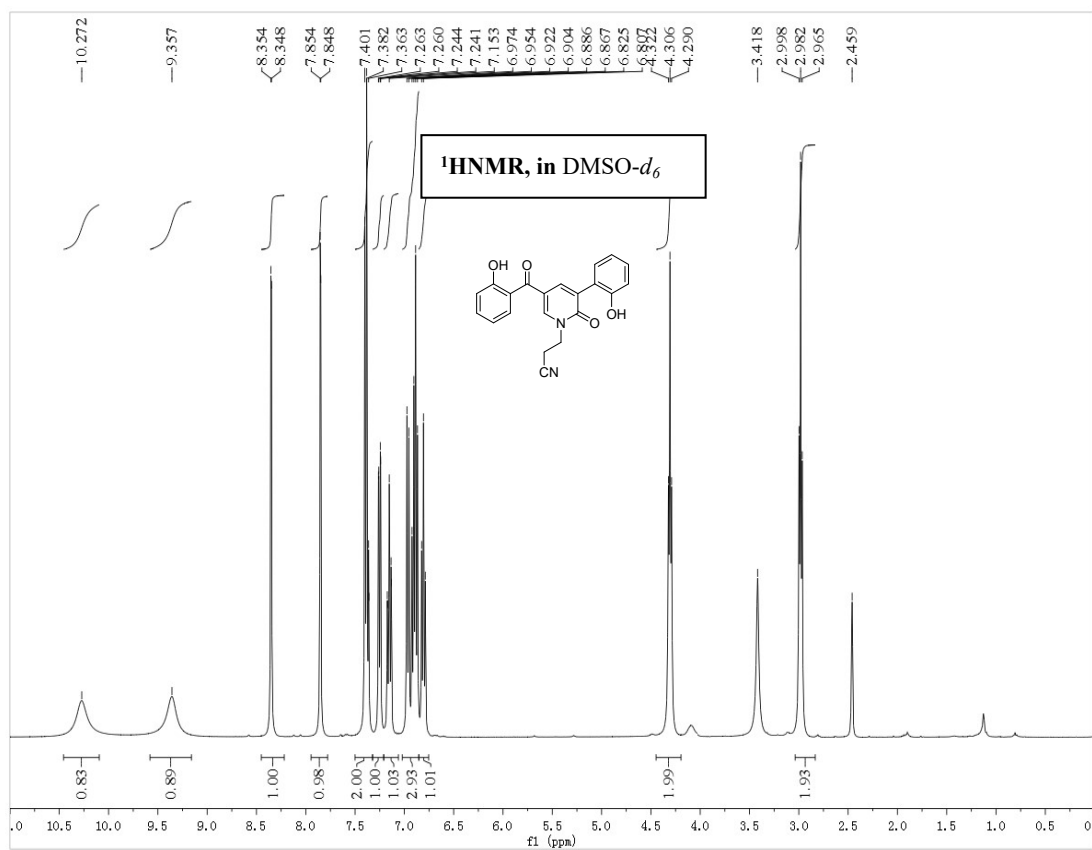
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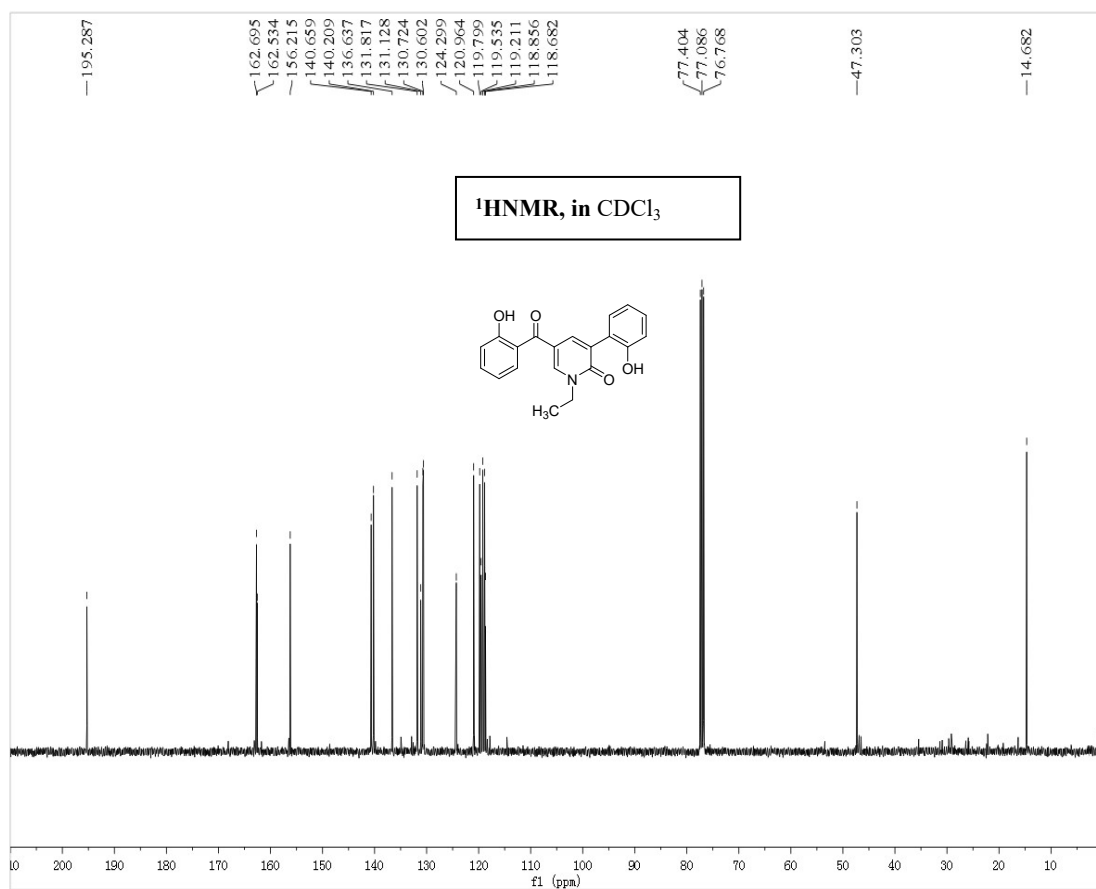
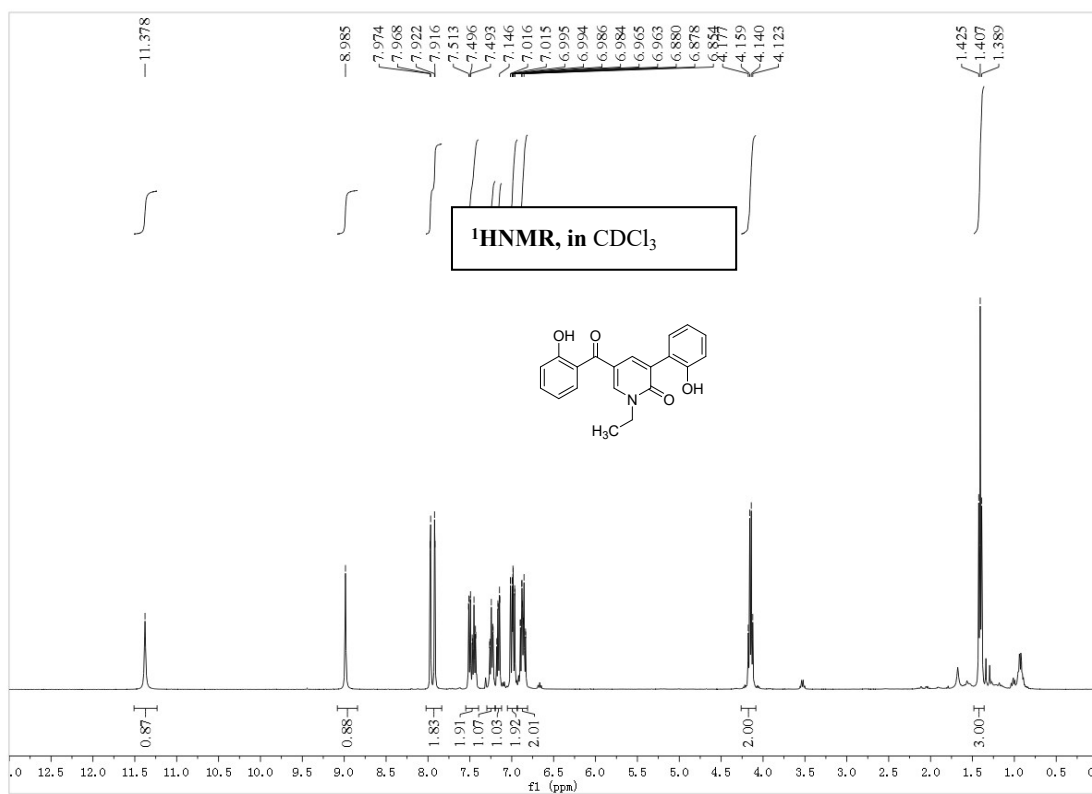
¹⁹F NMR of 3di



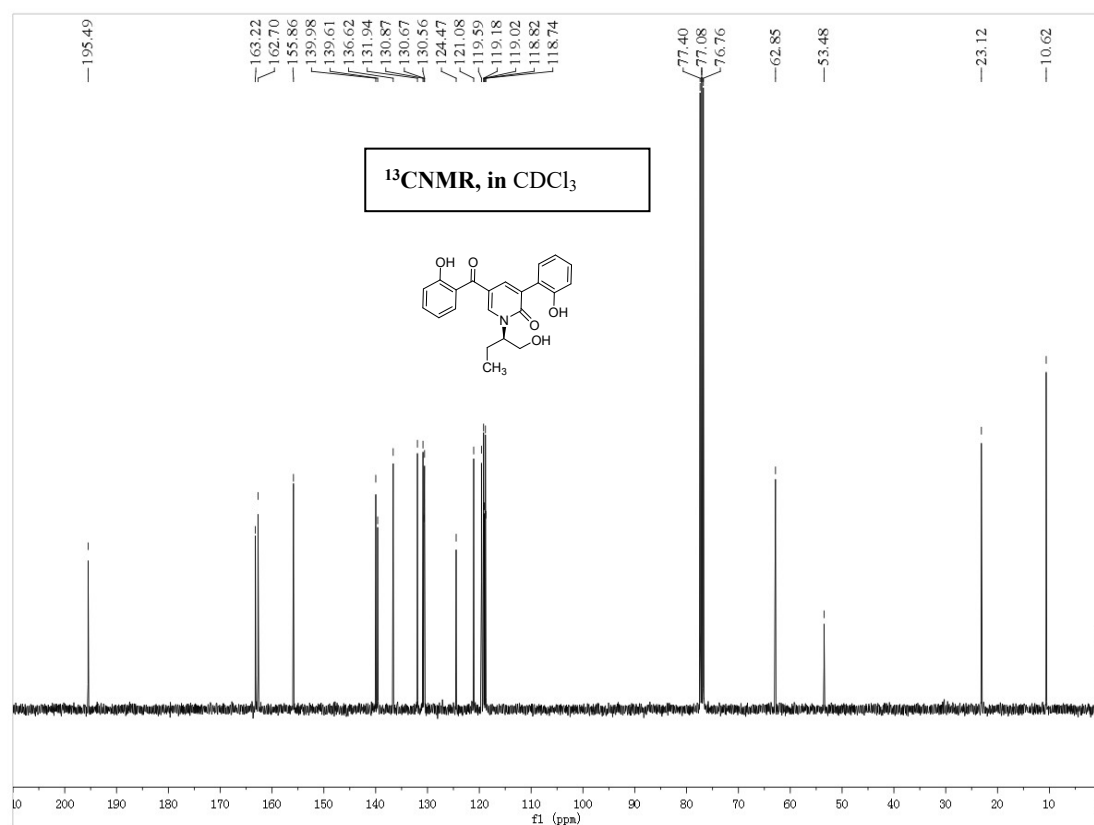
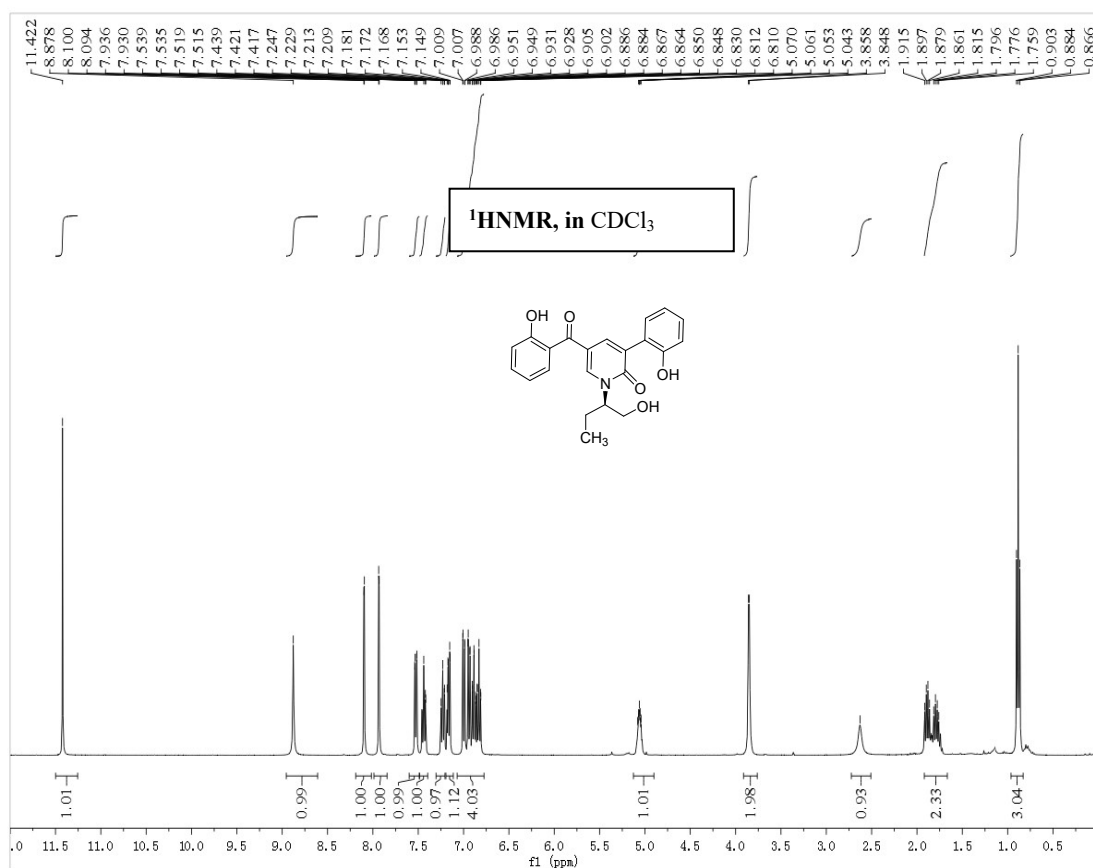
¹H and ¹³C NMR of 3ea



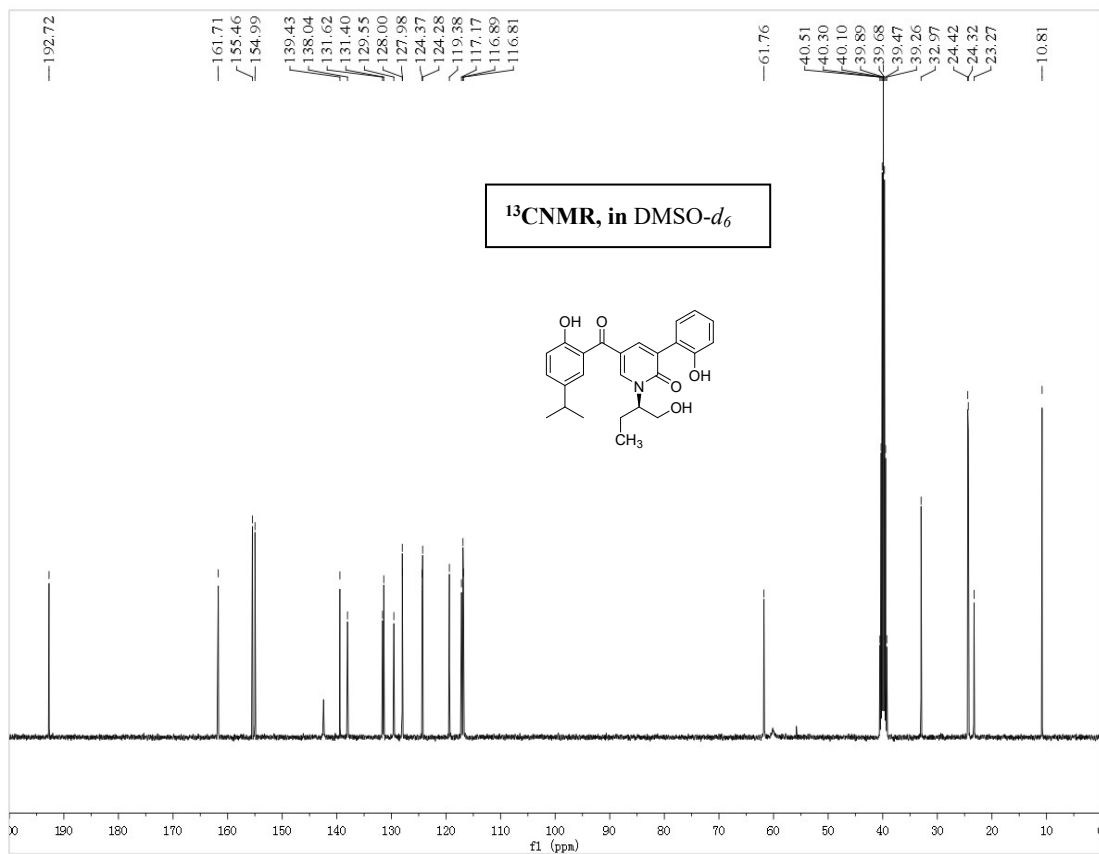
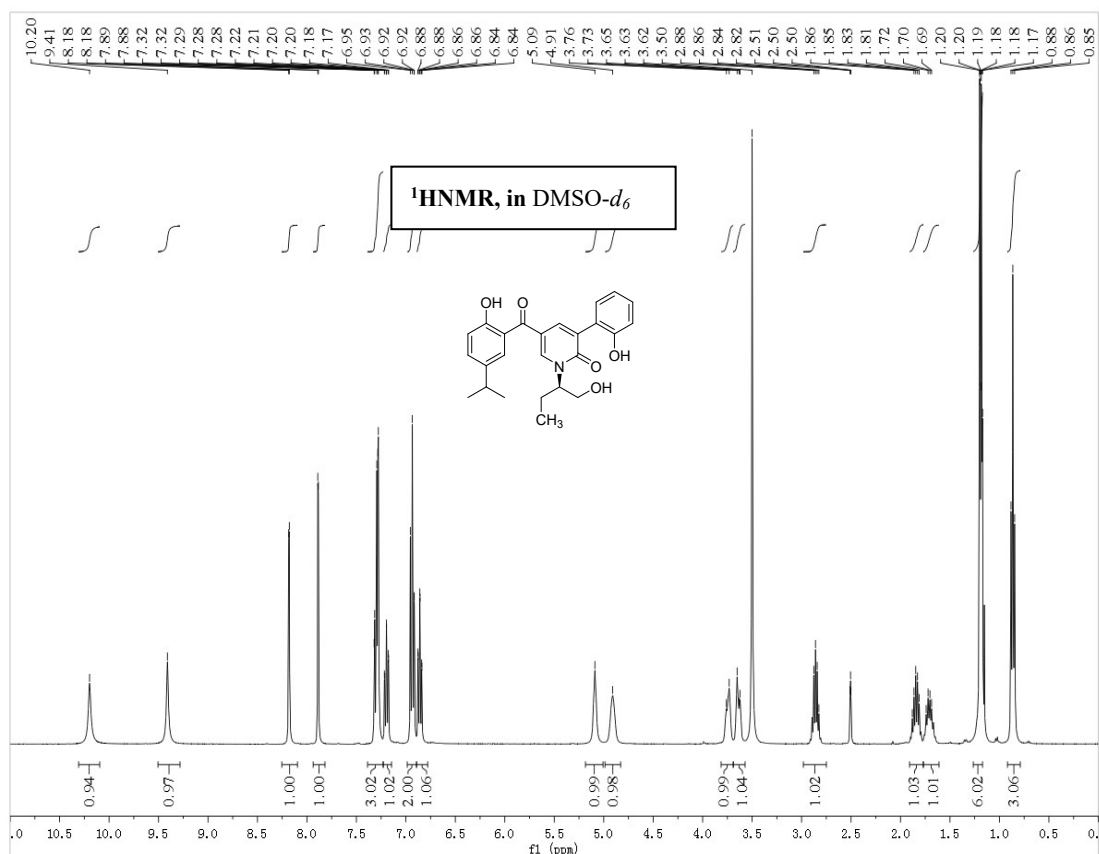
^1H and ^{13}C NMR of 3fa



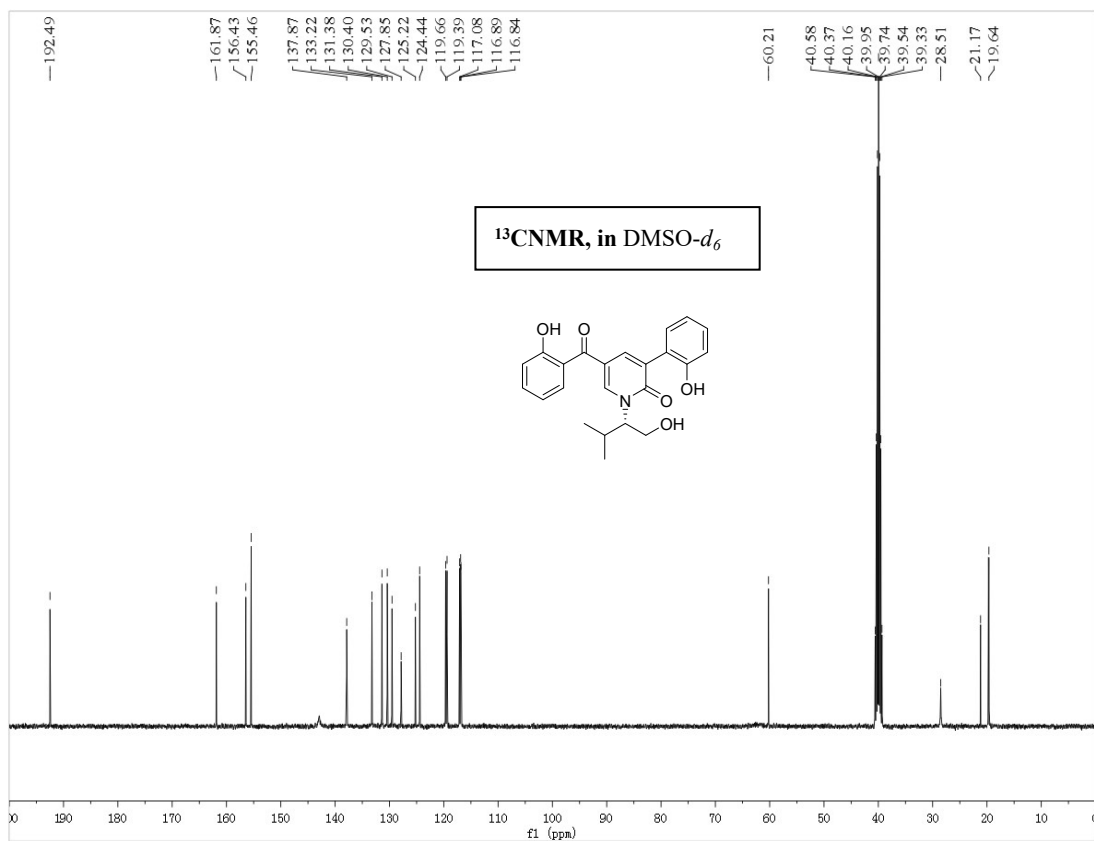
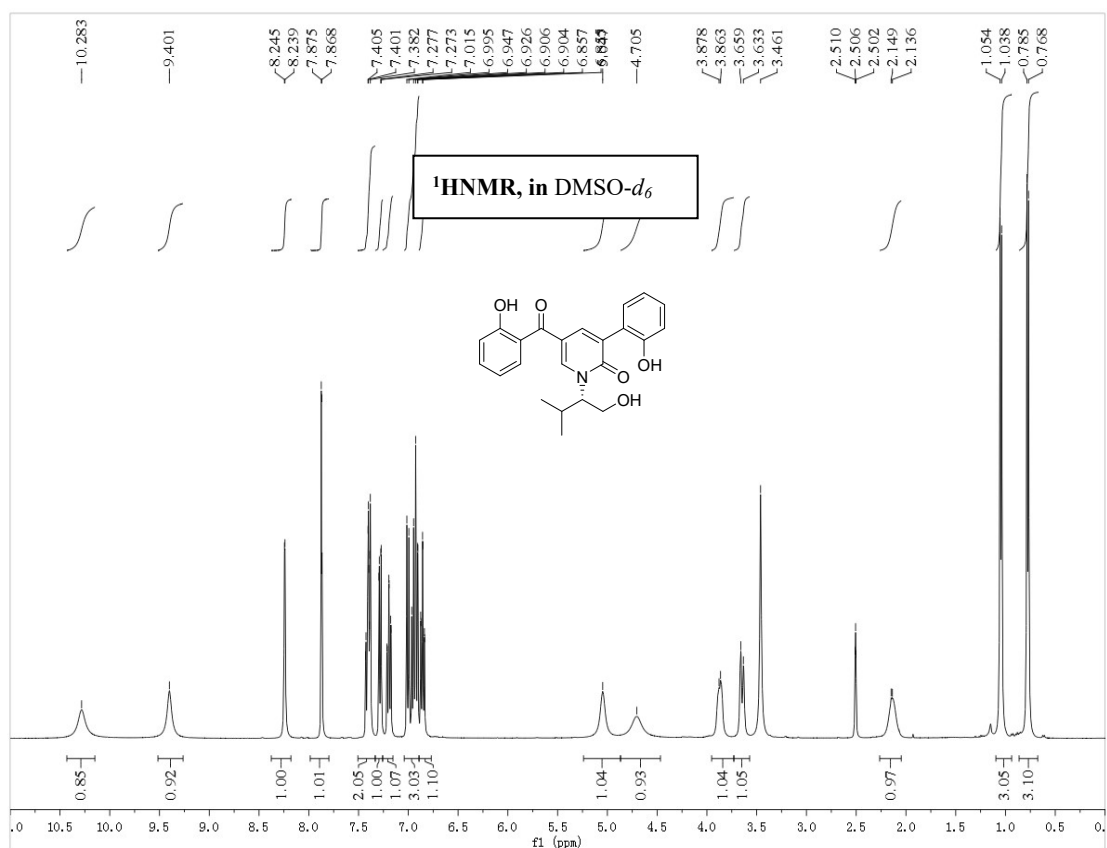
¹H and ¹³C NMR of 3ga



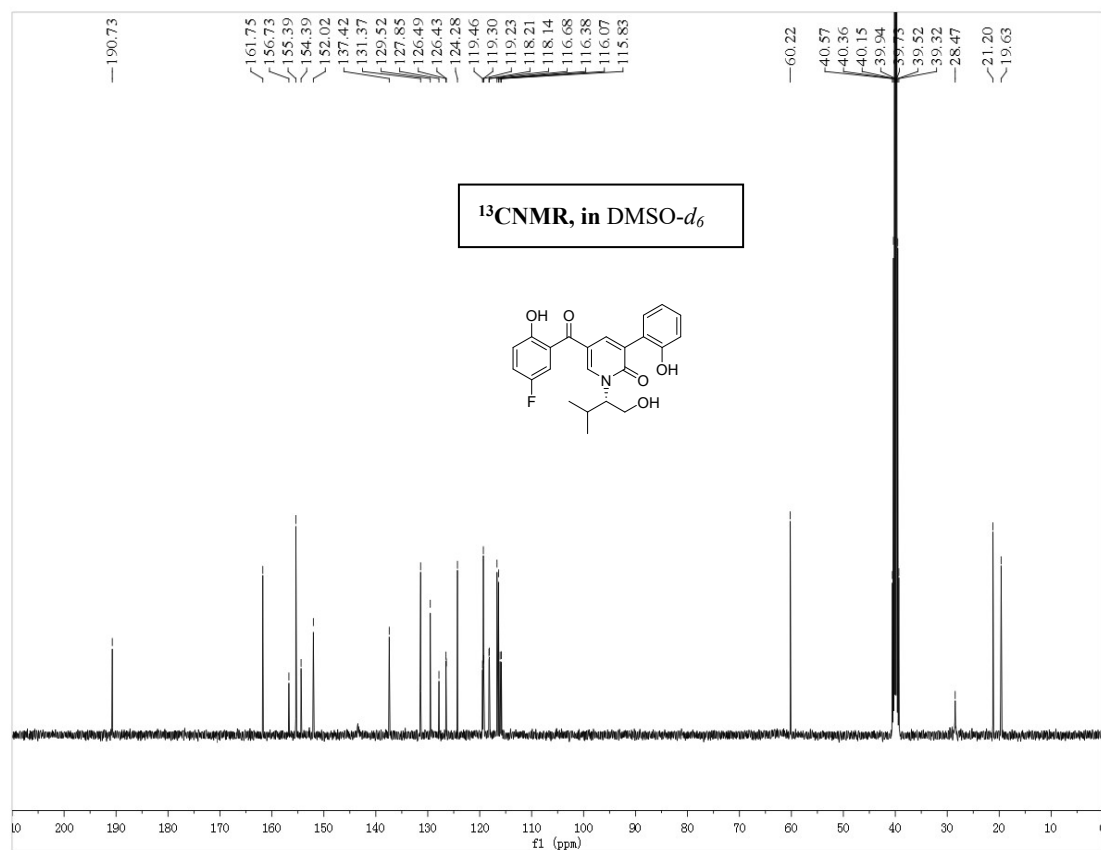
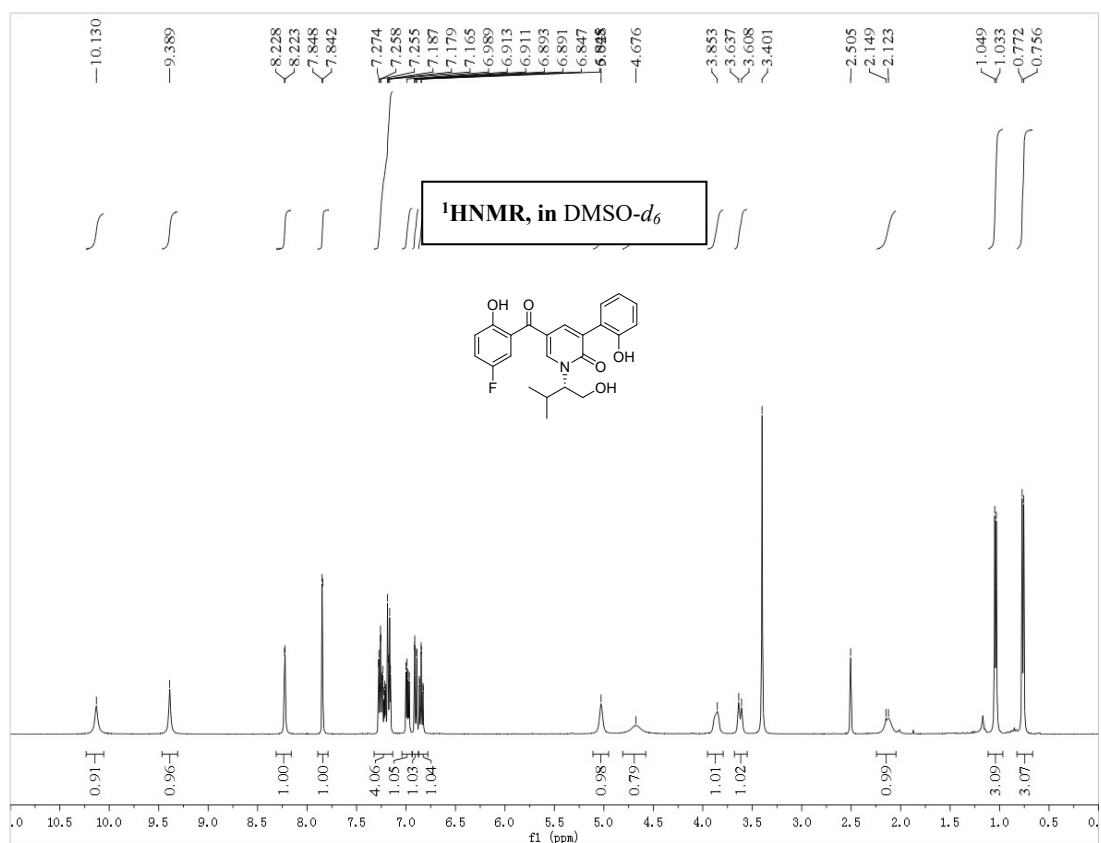
¹H and ¹³C NMR of 3gb



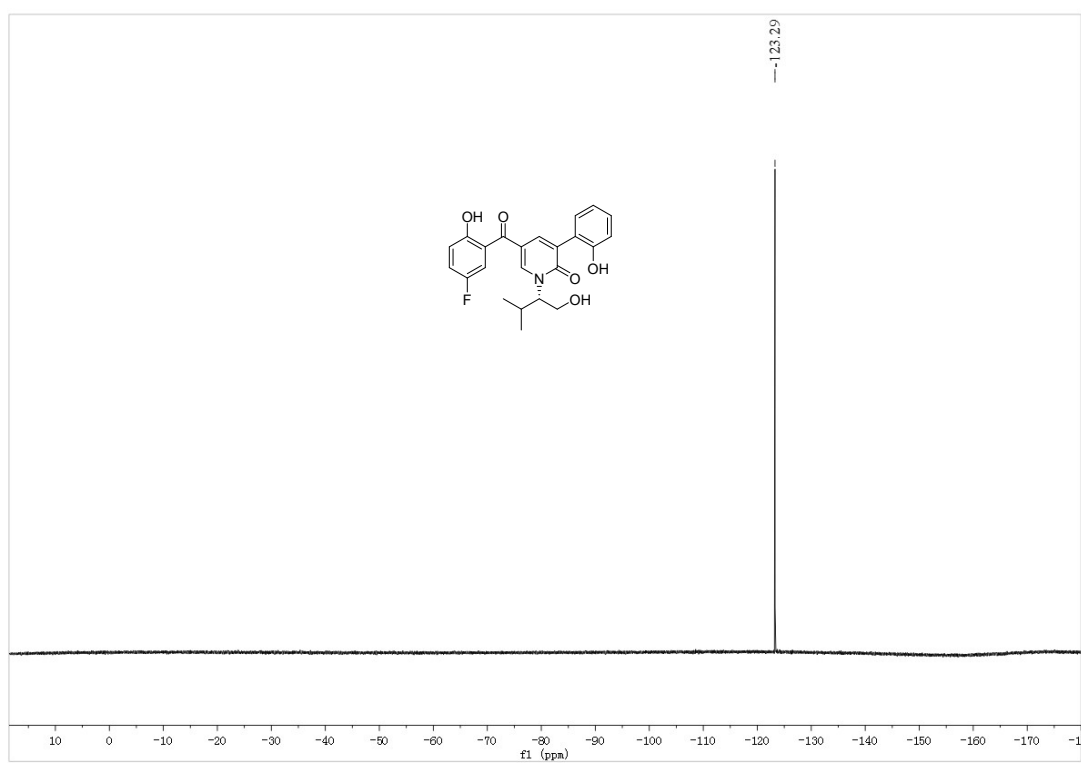
^1H and ^{13}C NMR of 3ha



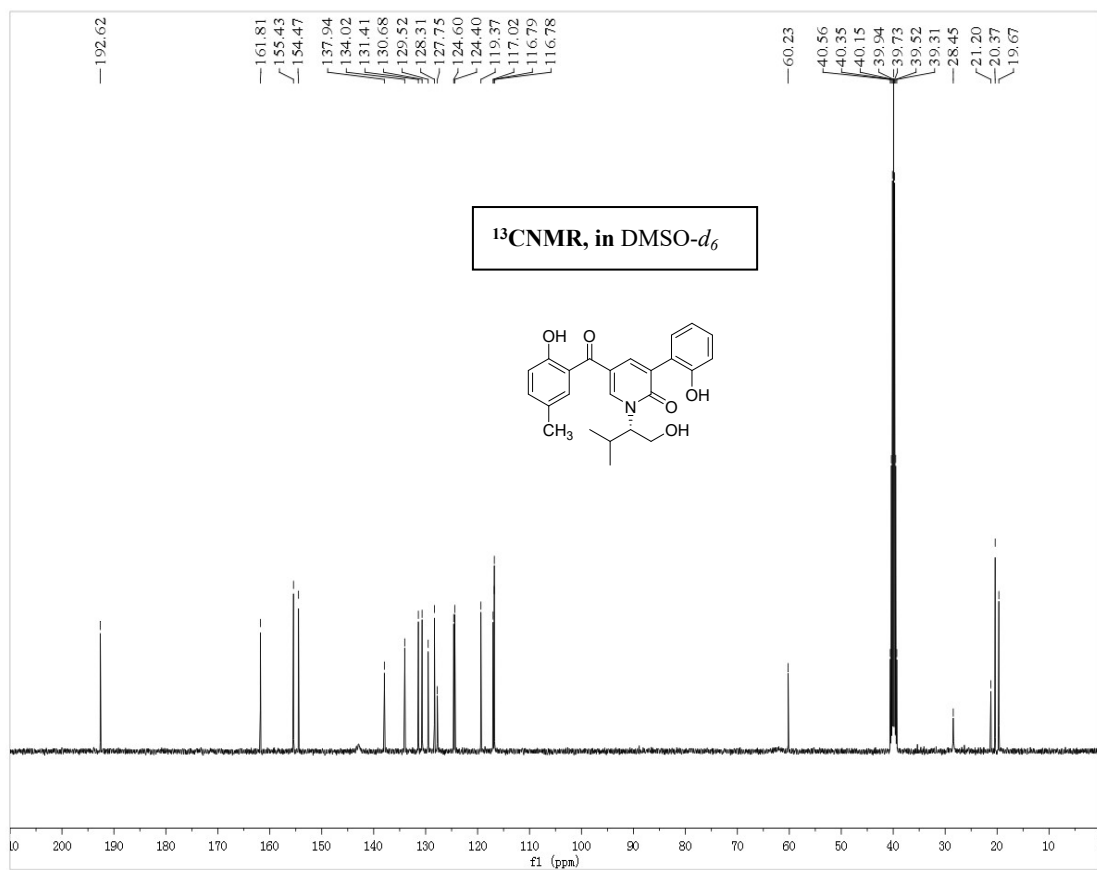
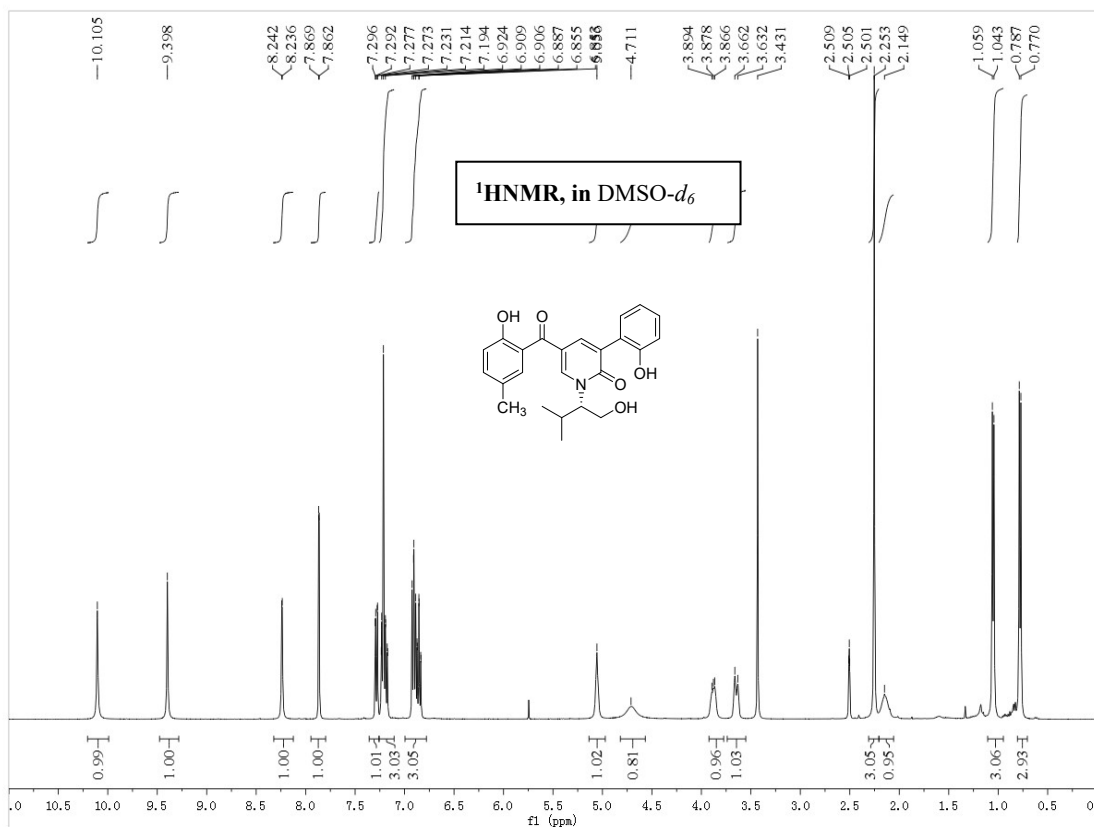
^1H and ^{13}C NMR of 3hb



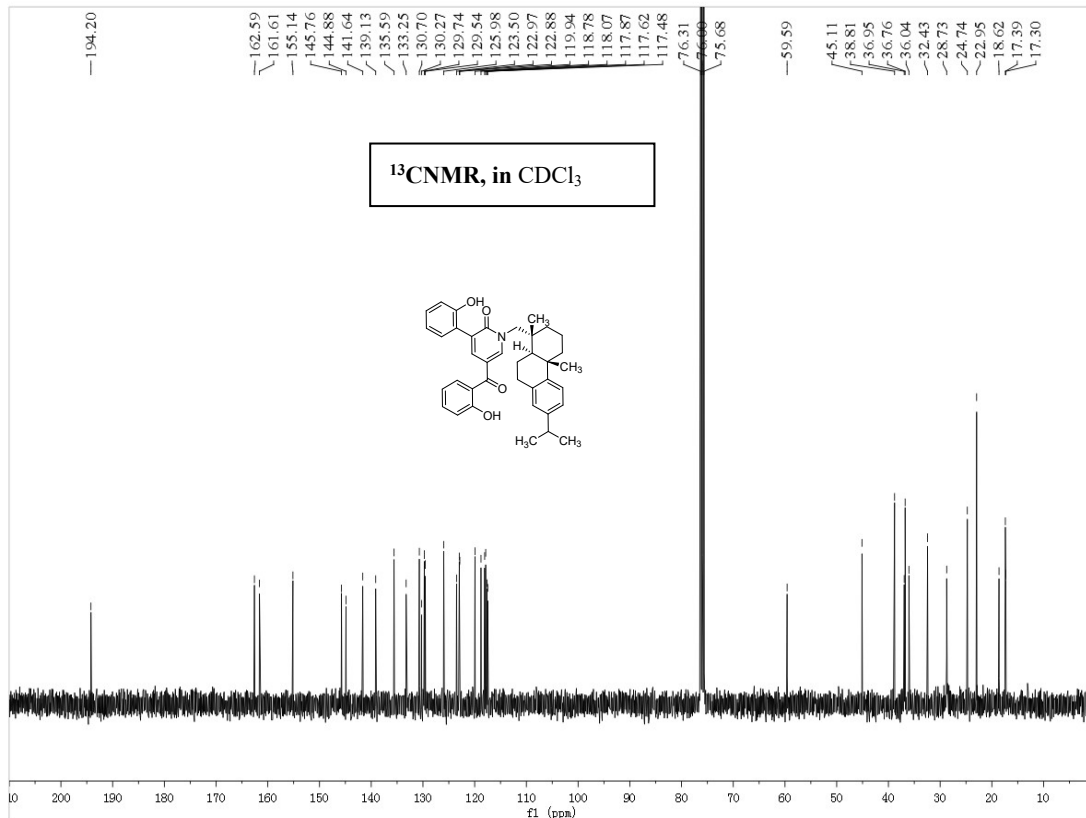
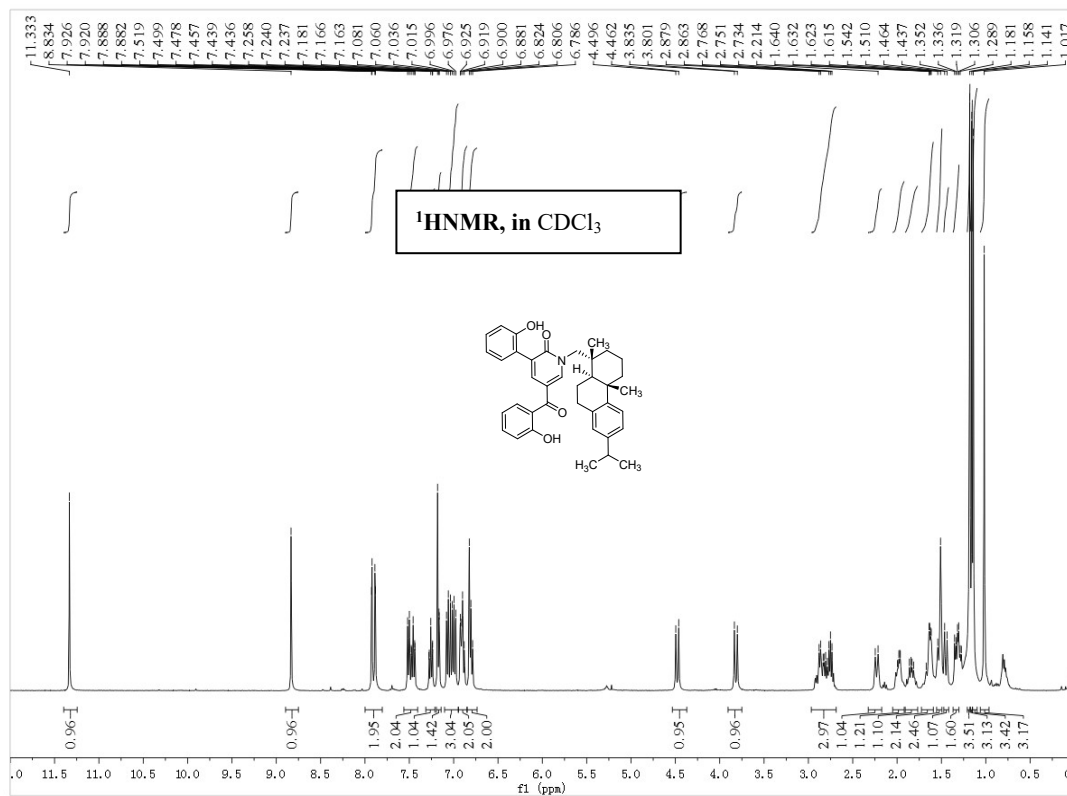
¹⁹F NMR of 3hb



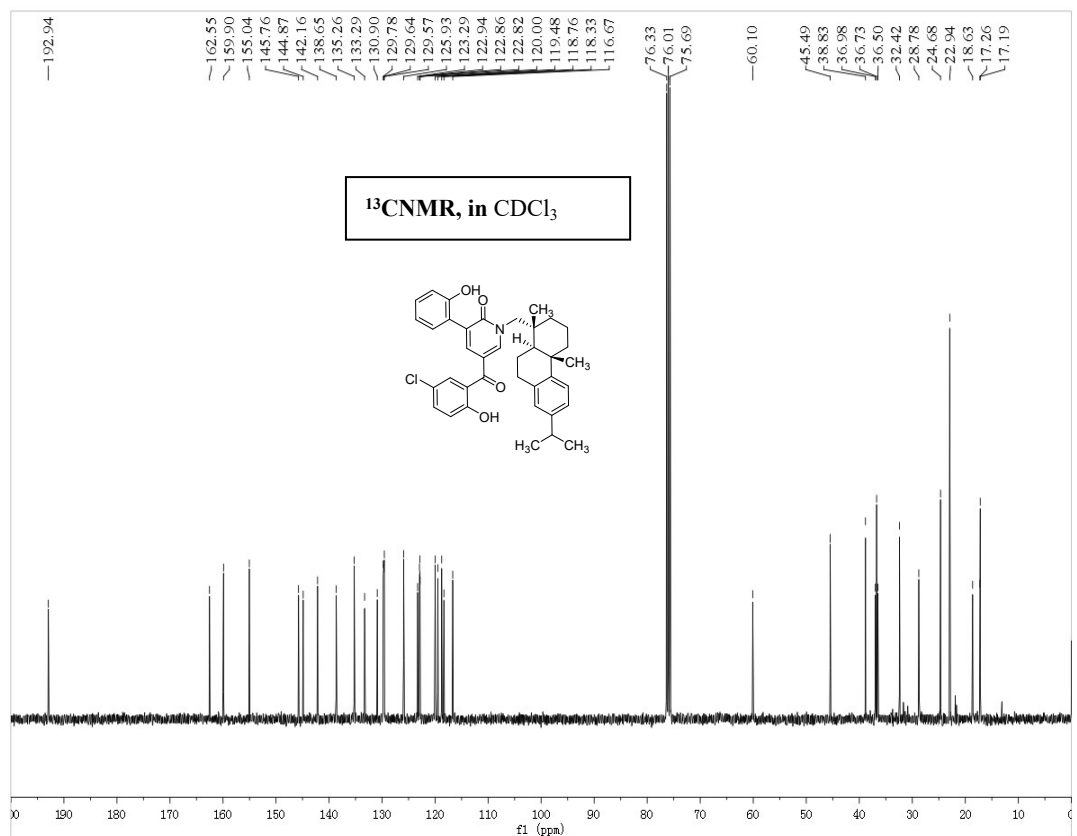
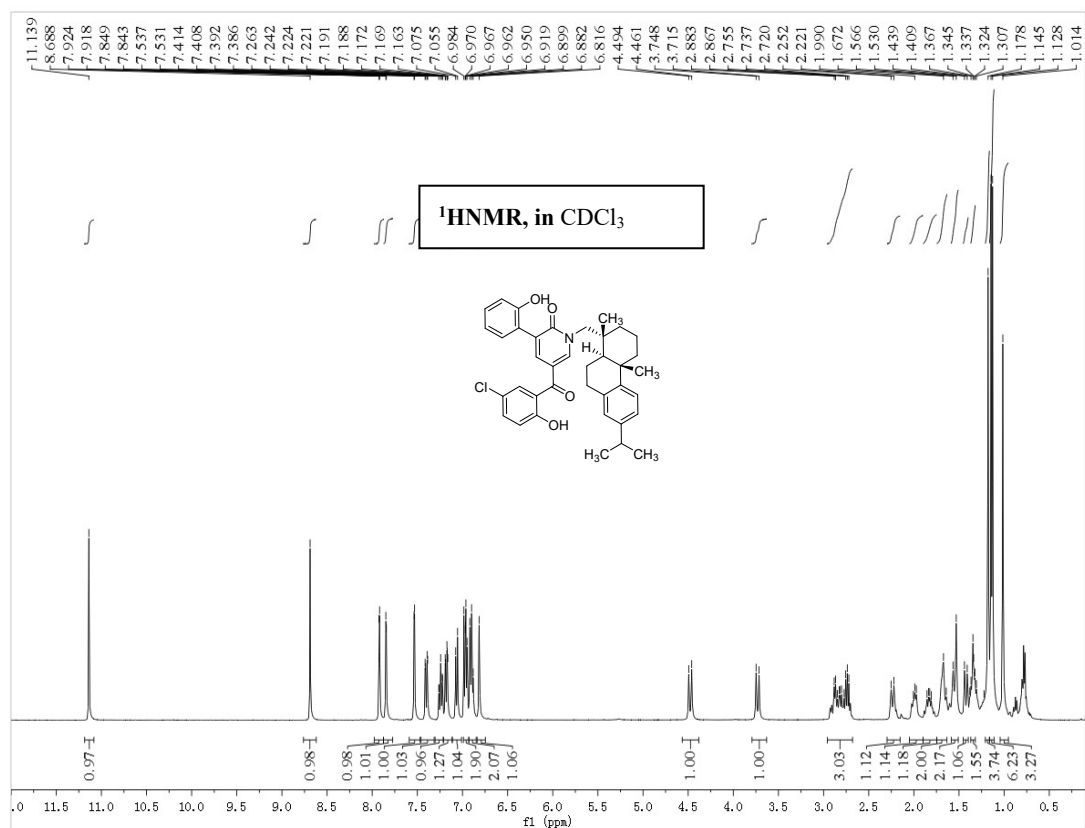
¹H and ¹³C NMR of 3hc



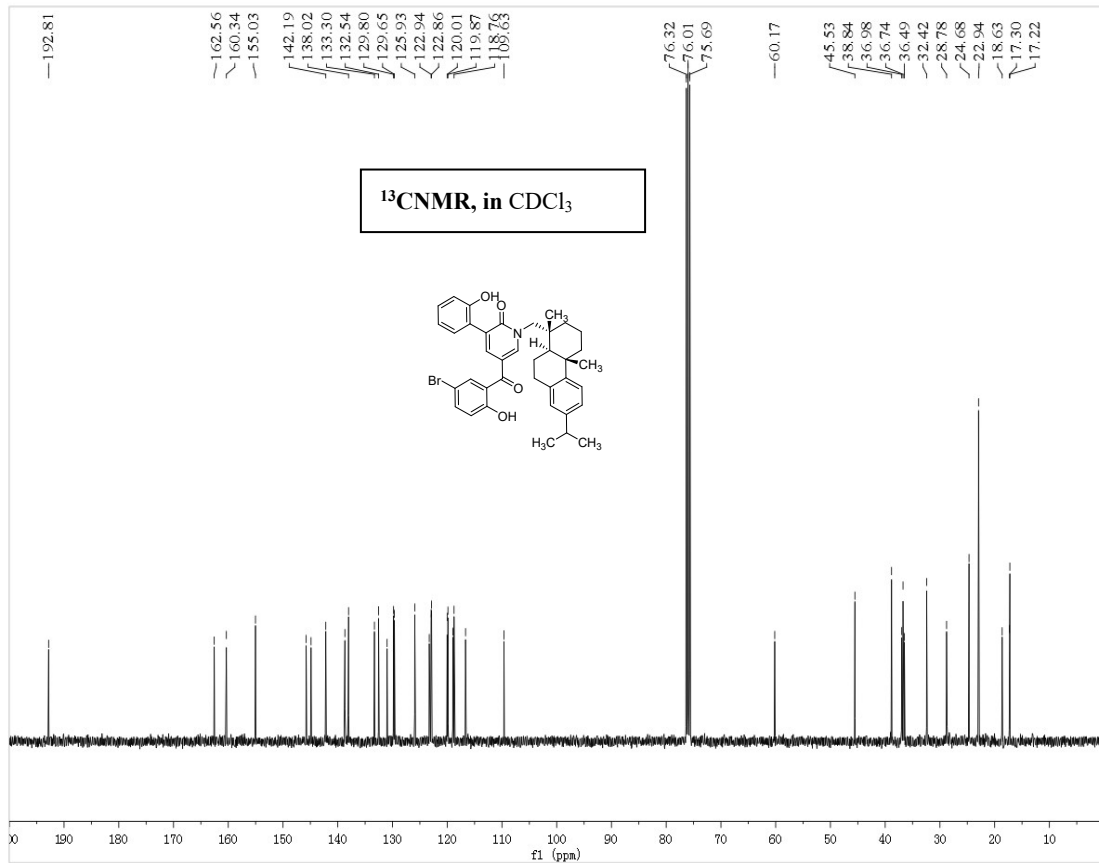
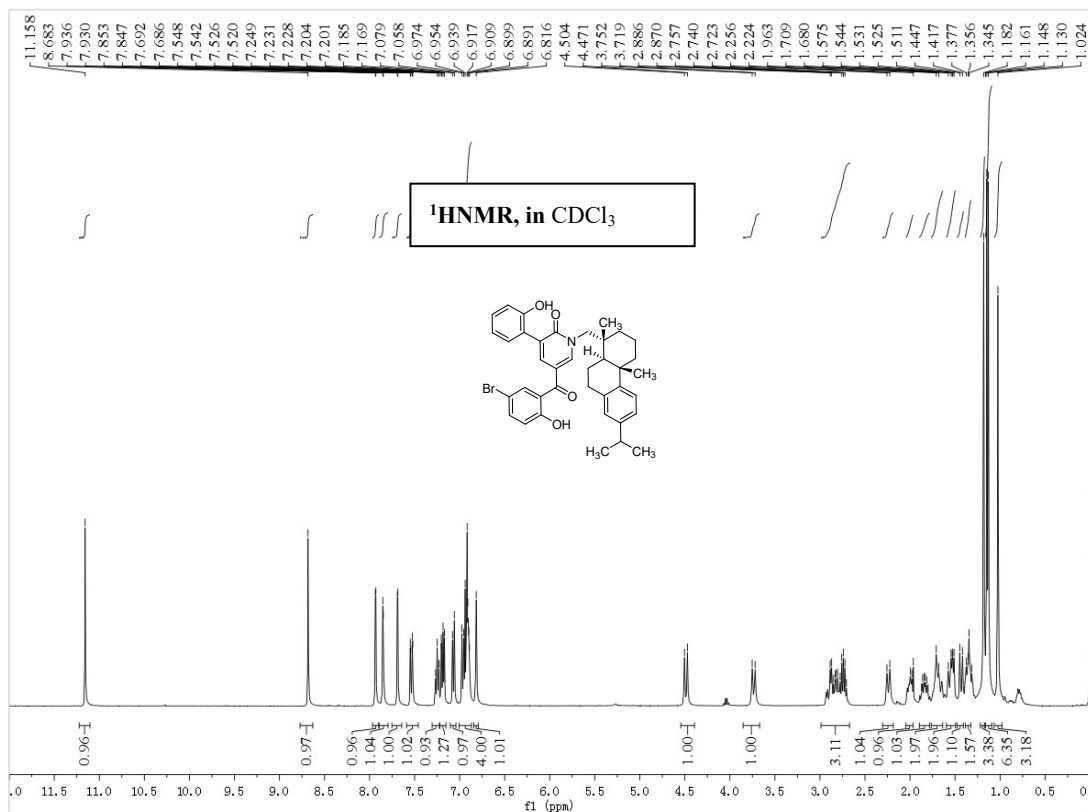
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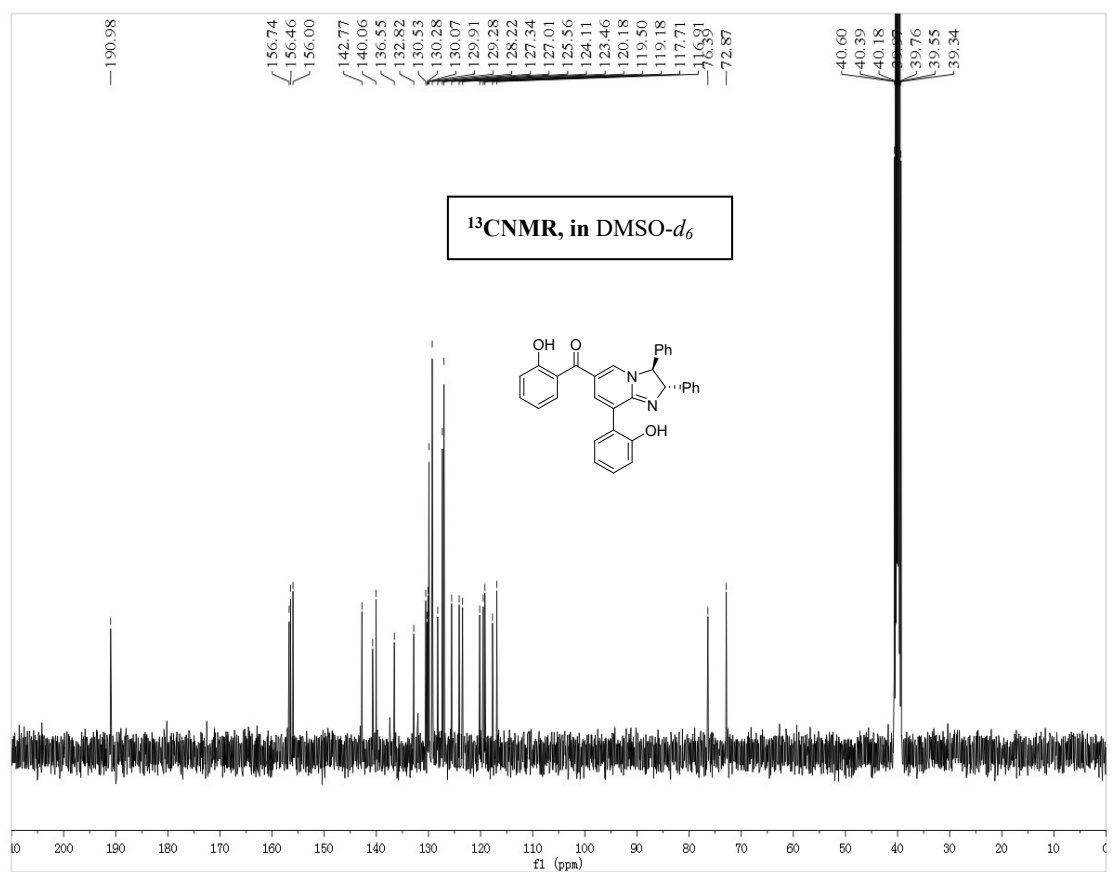
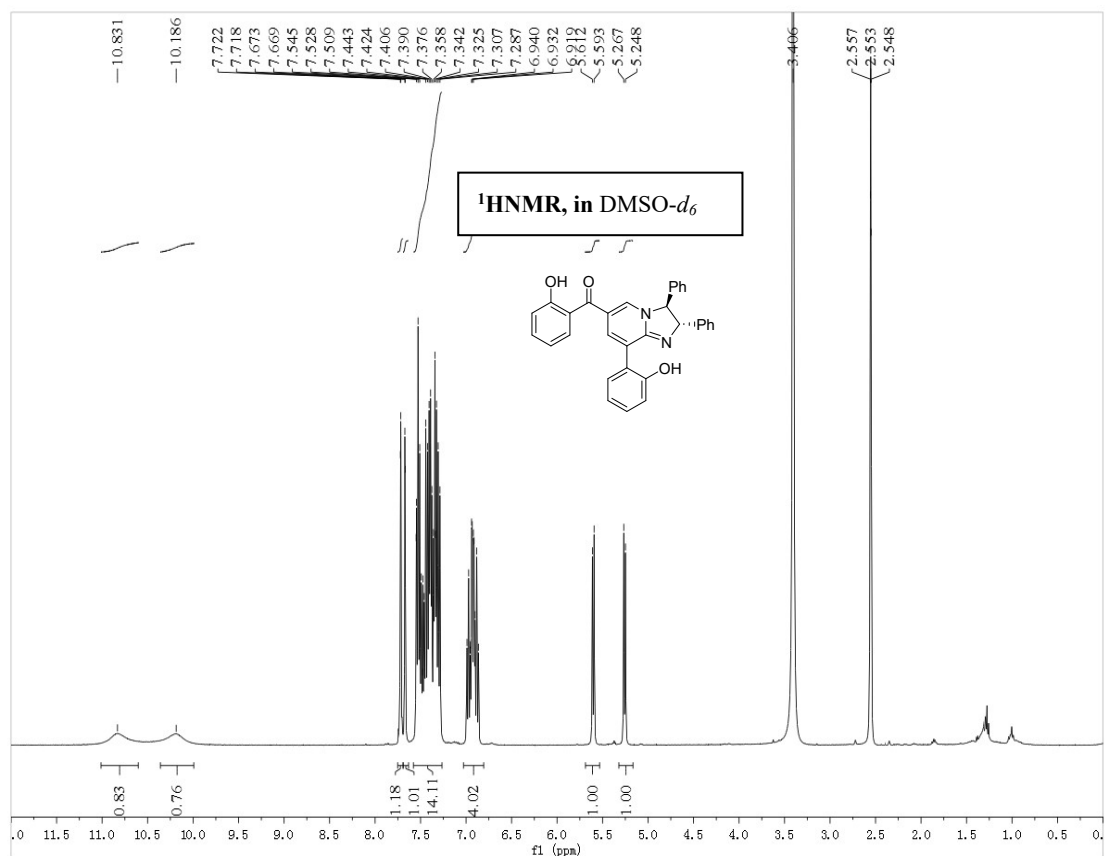
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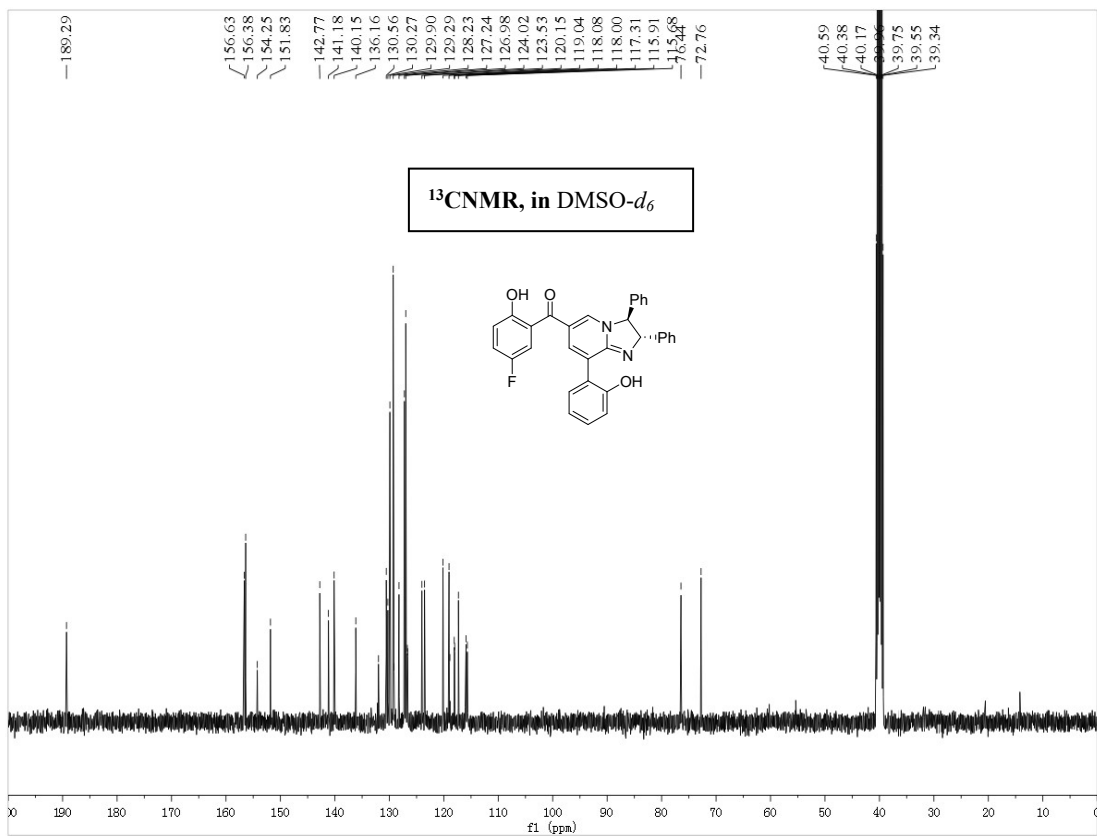
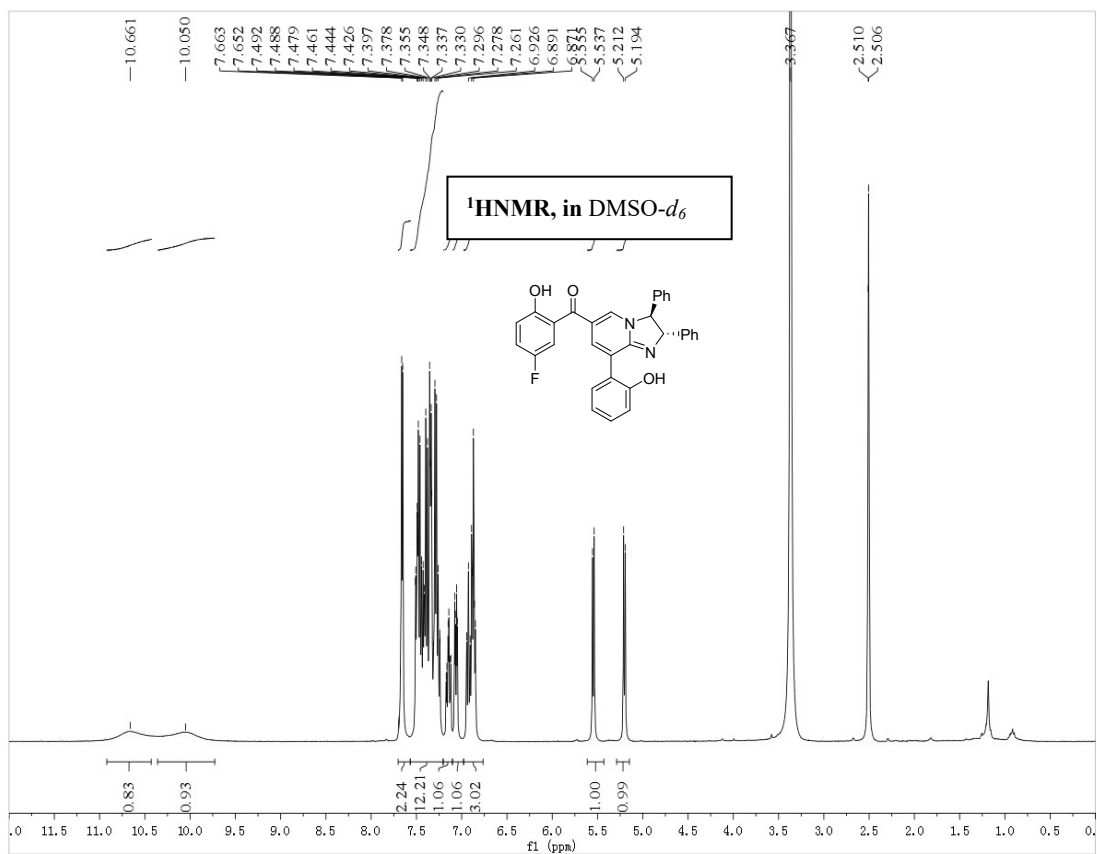
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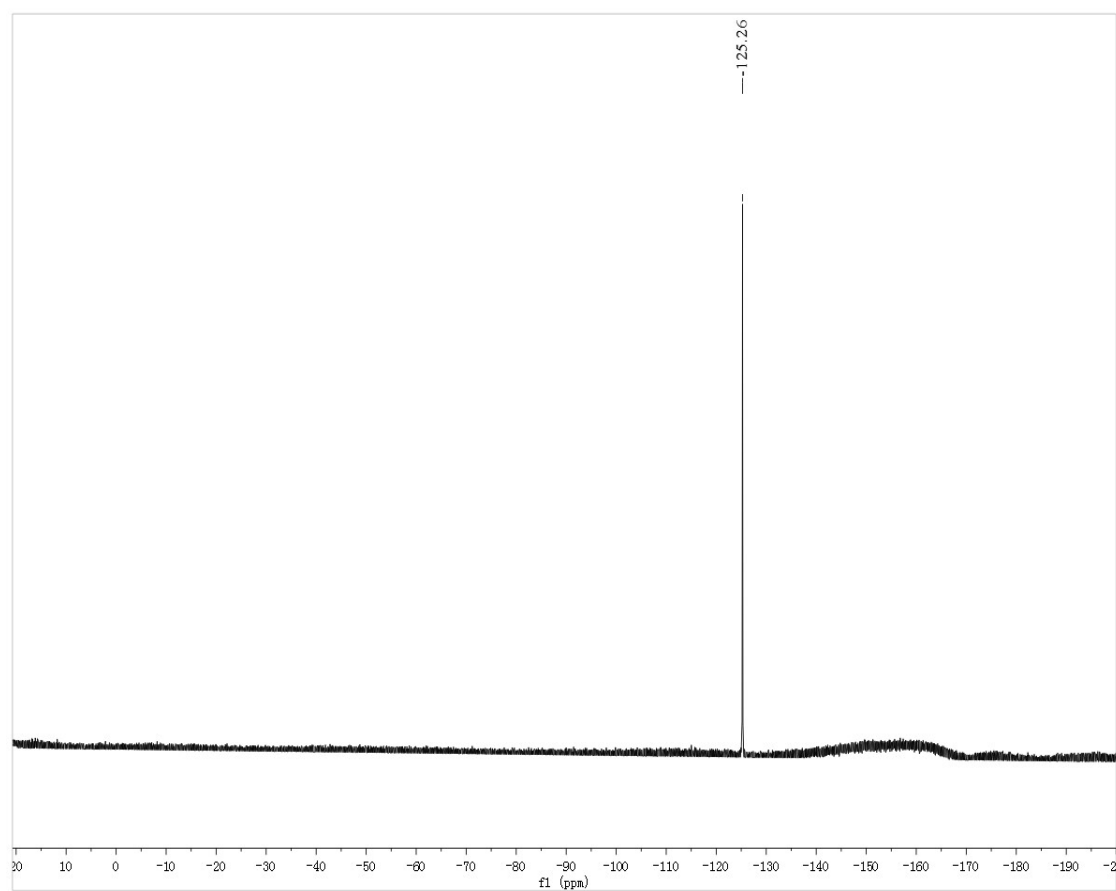
¹H and ¹³C NMR of 4a



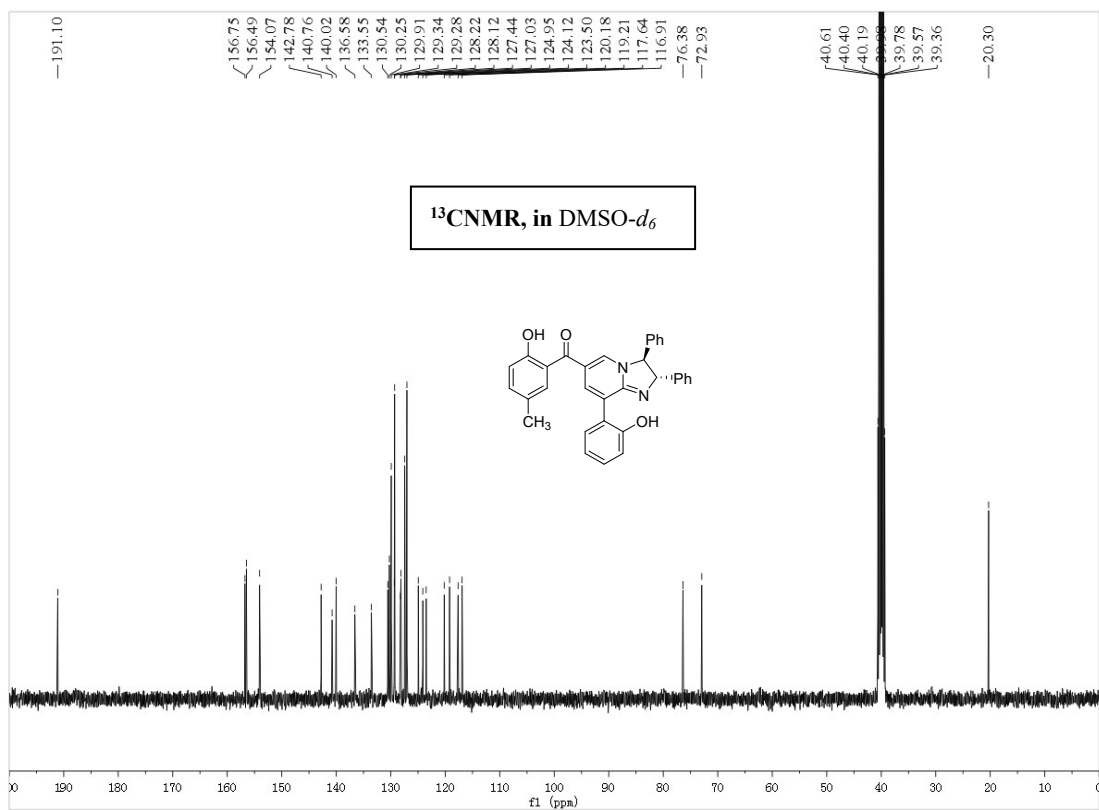
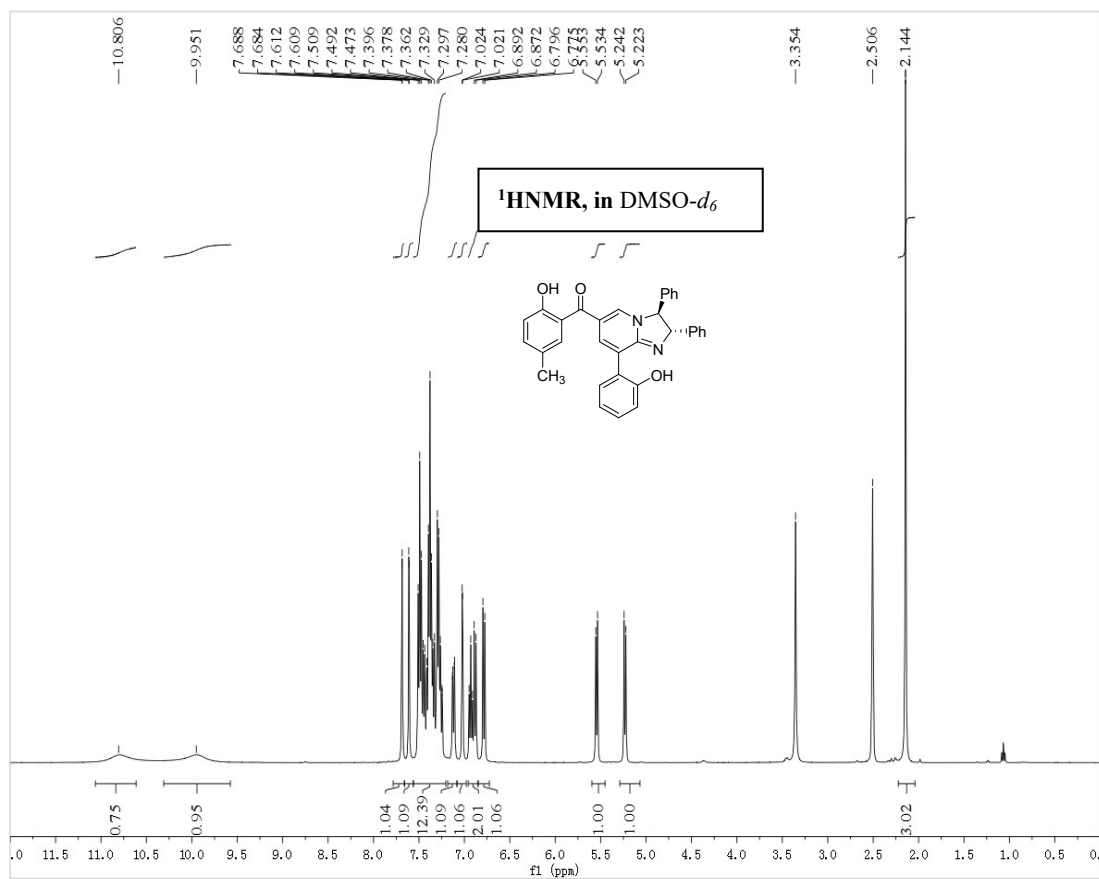
¹H and ¹³C NMR of 4b



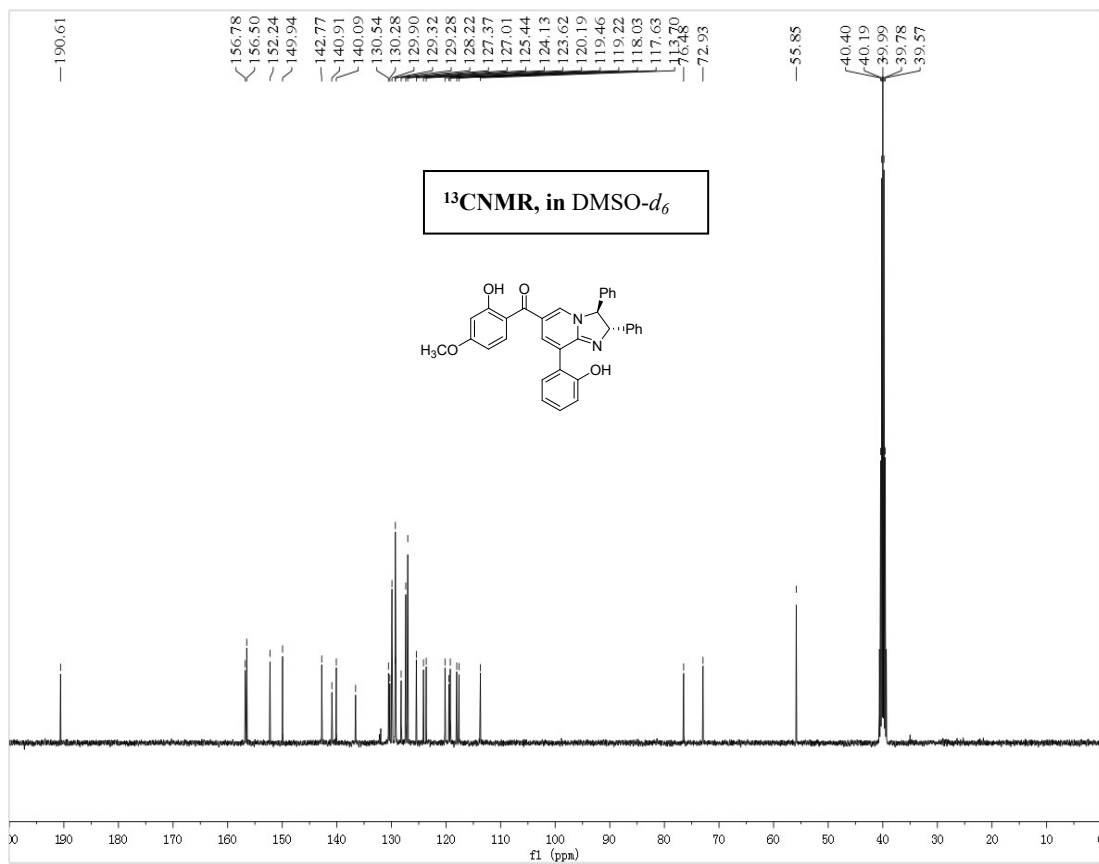
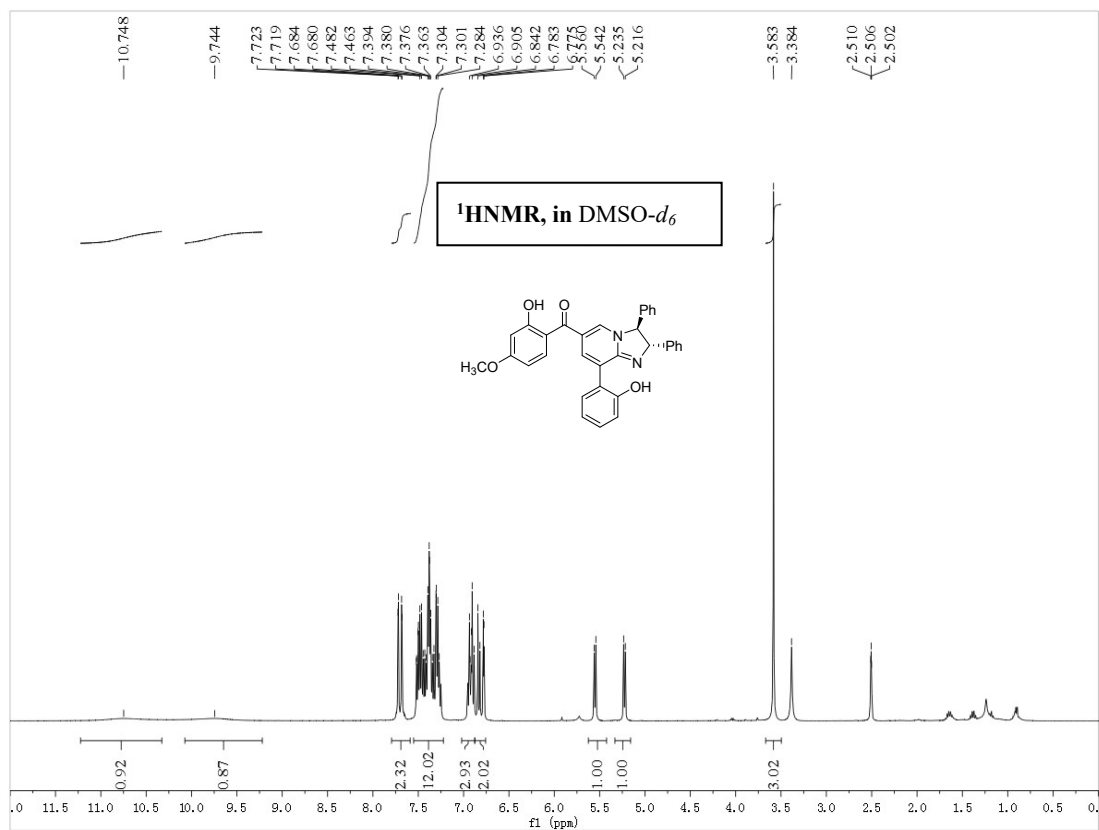
^{19}F NMR of 4b



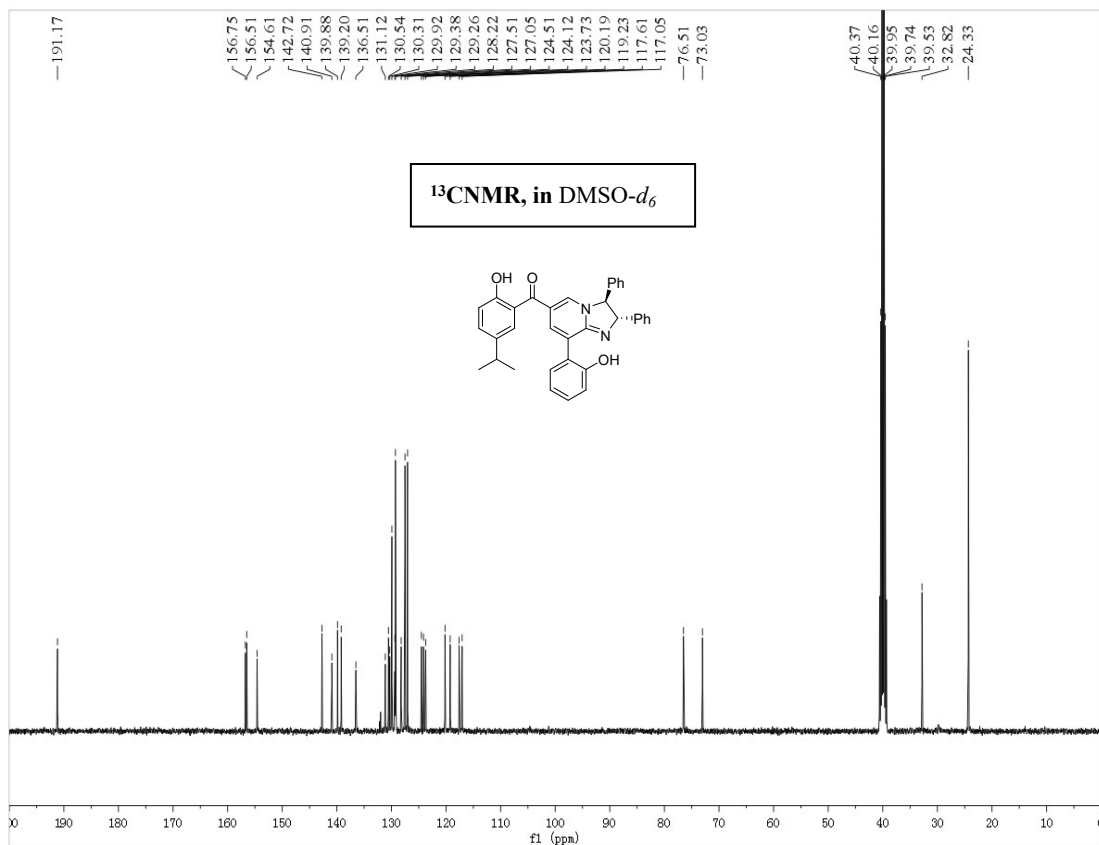
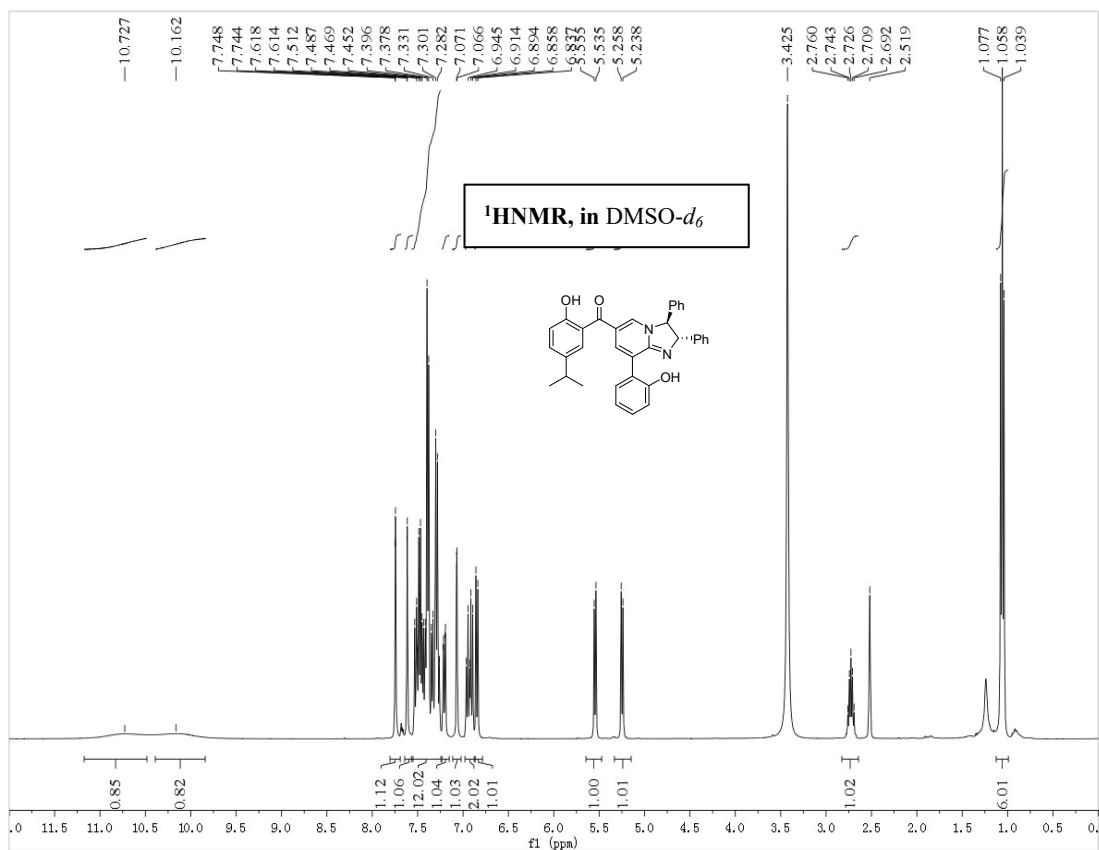
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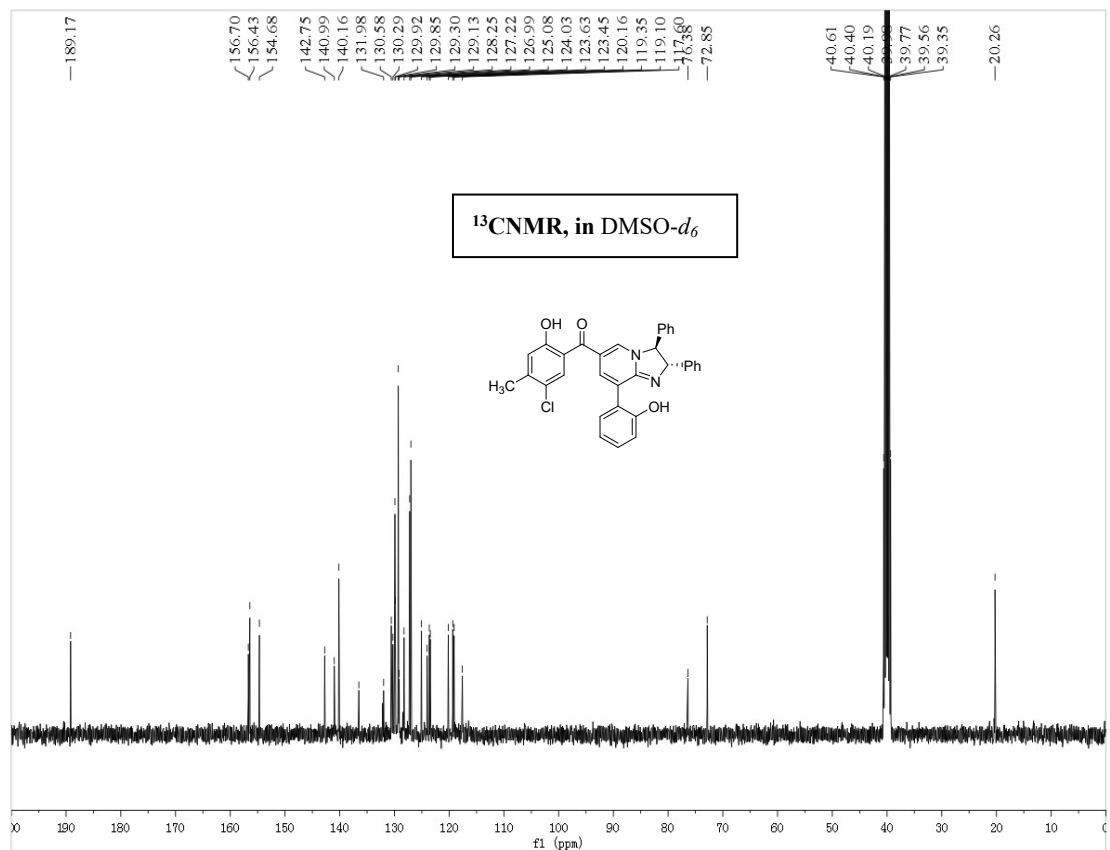
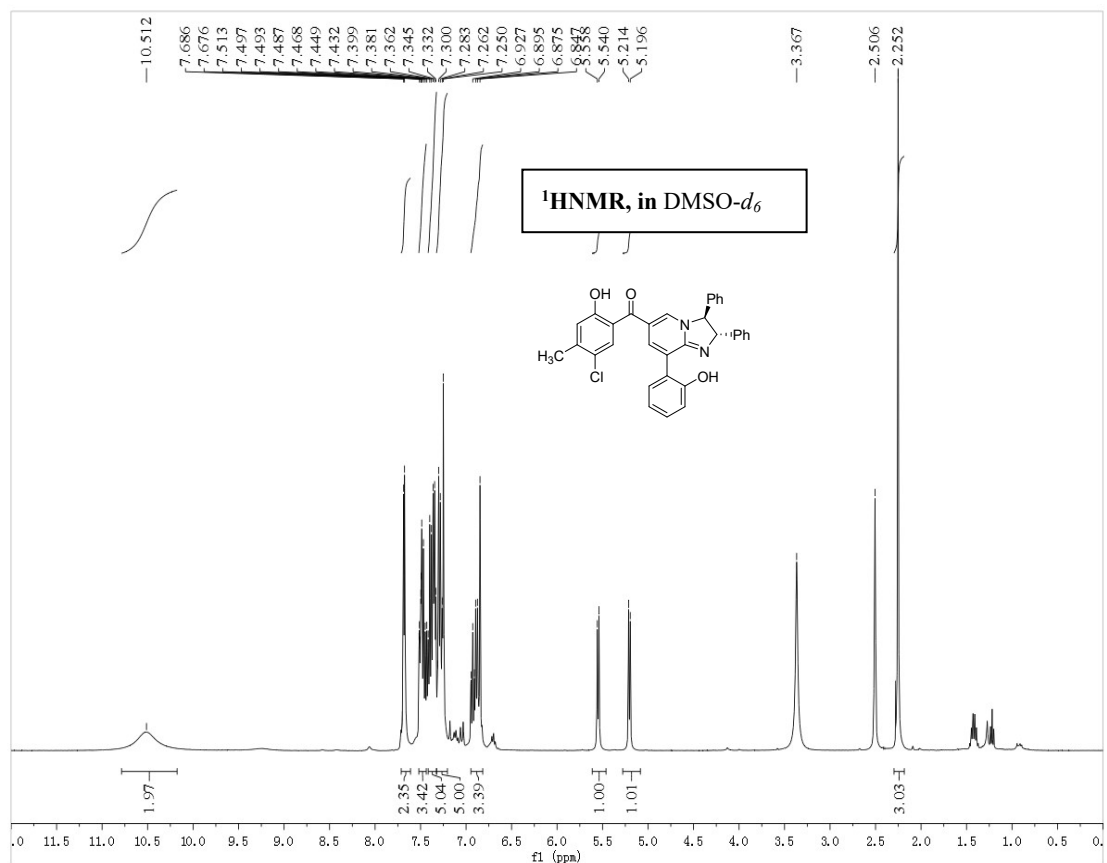
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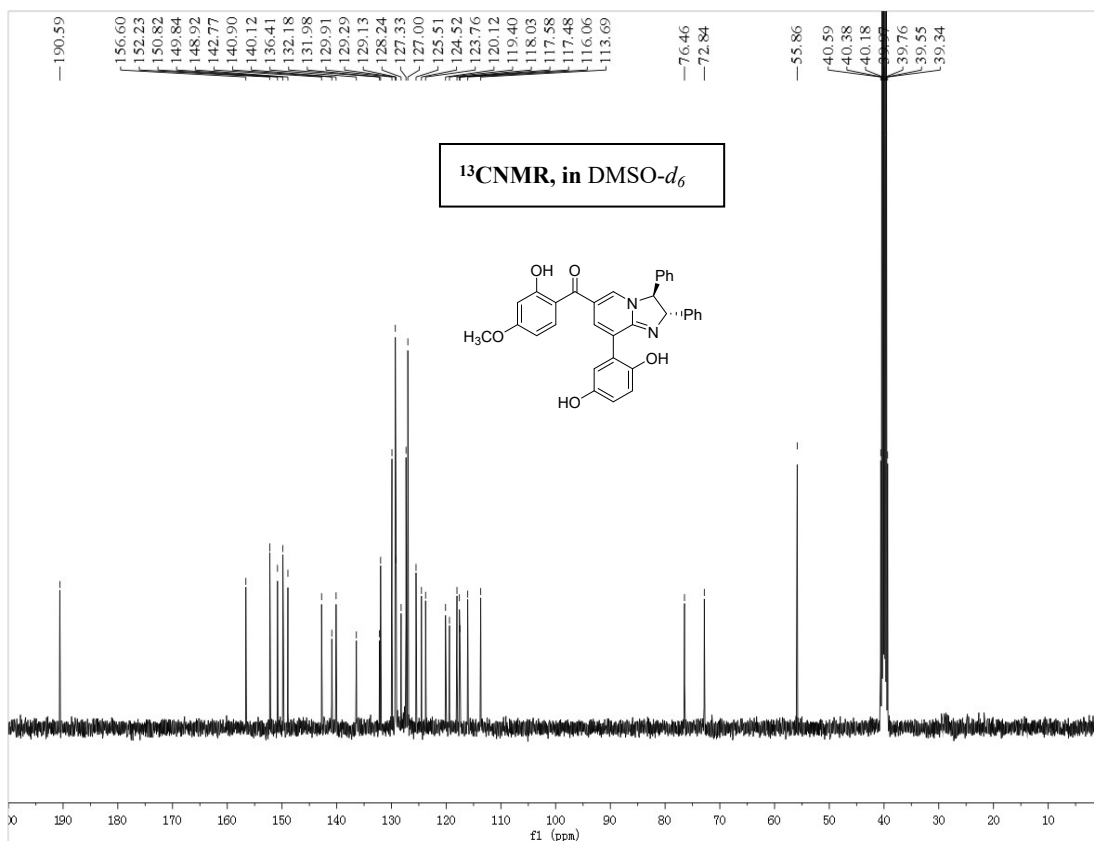
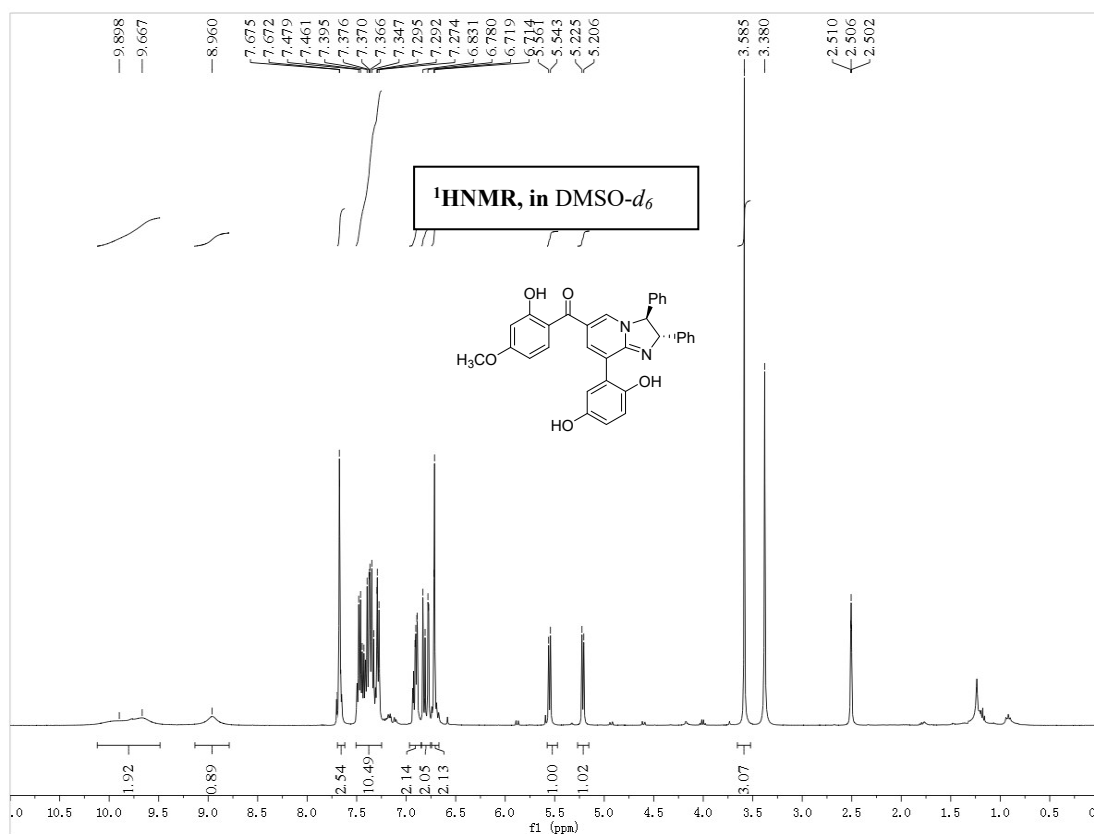
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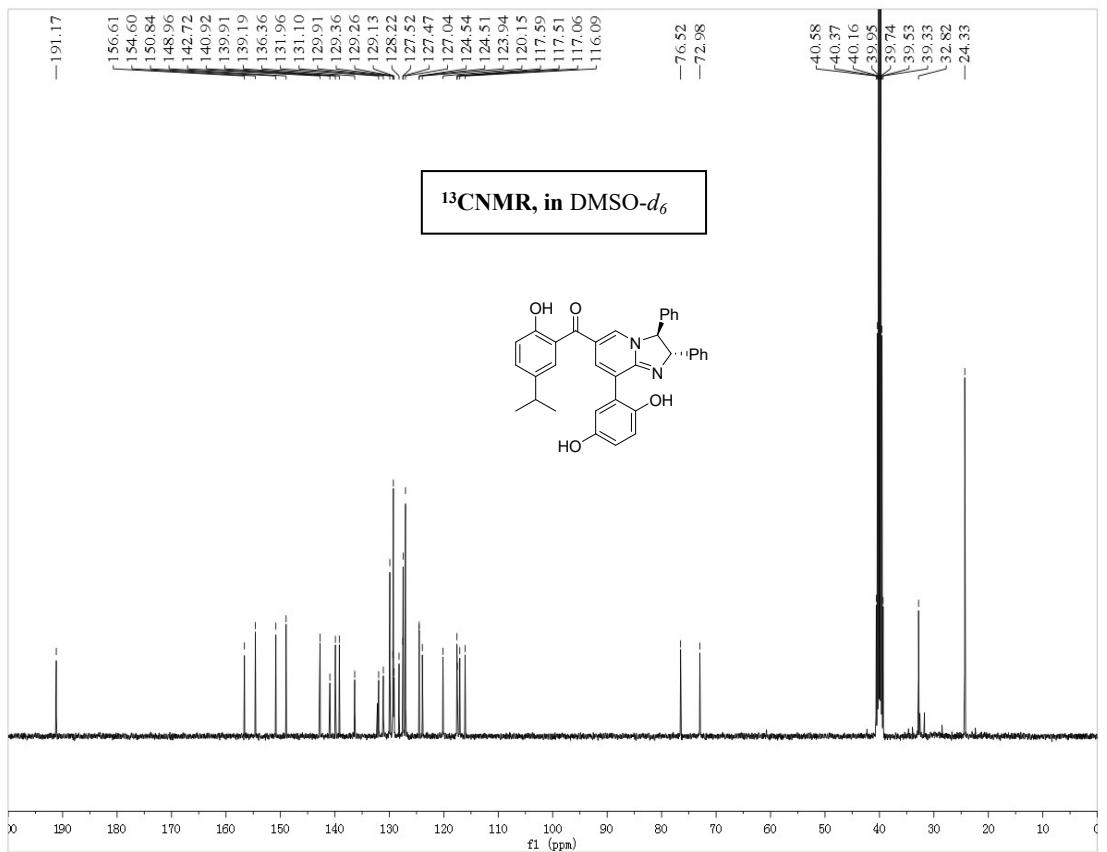
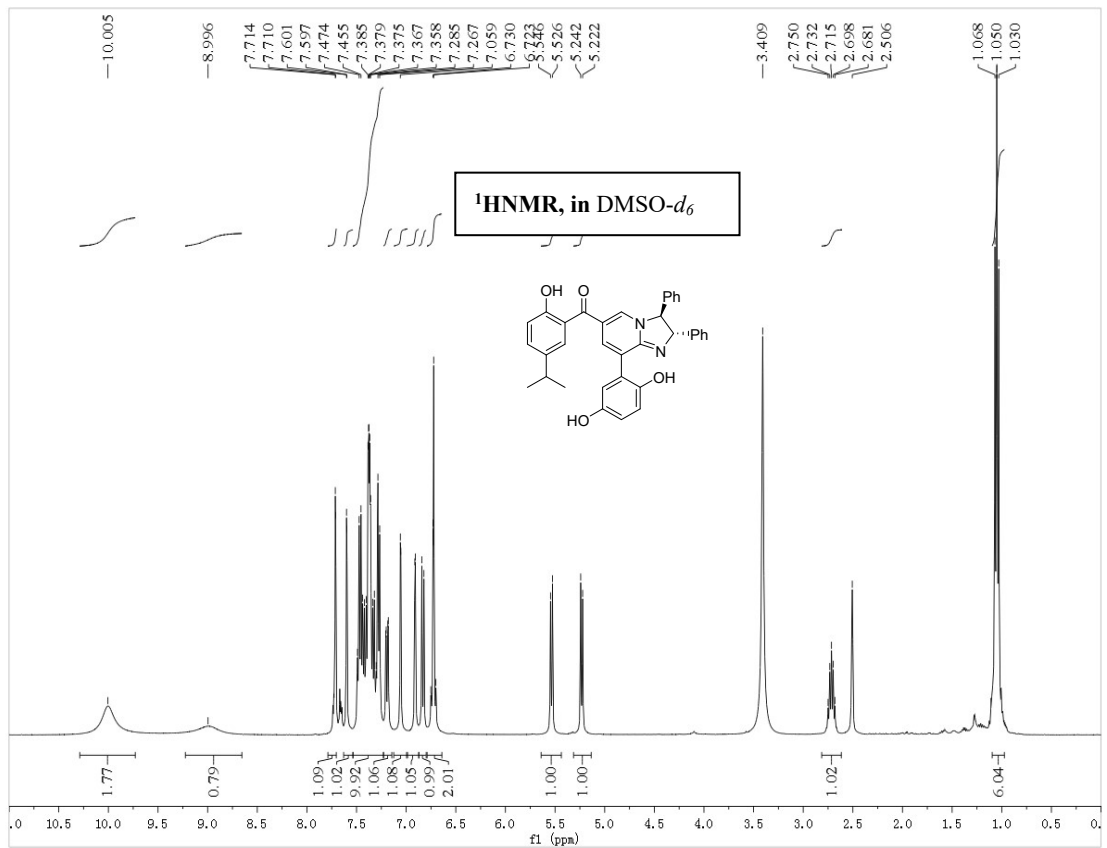
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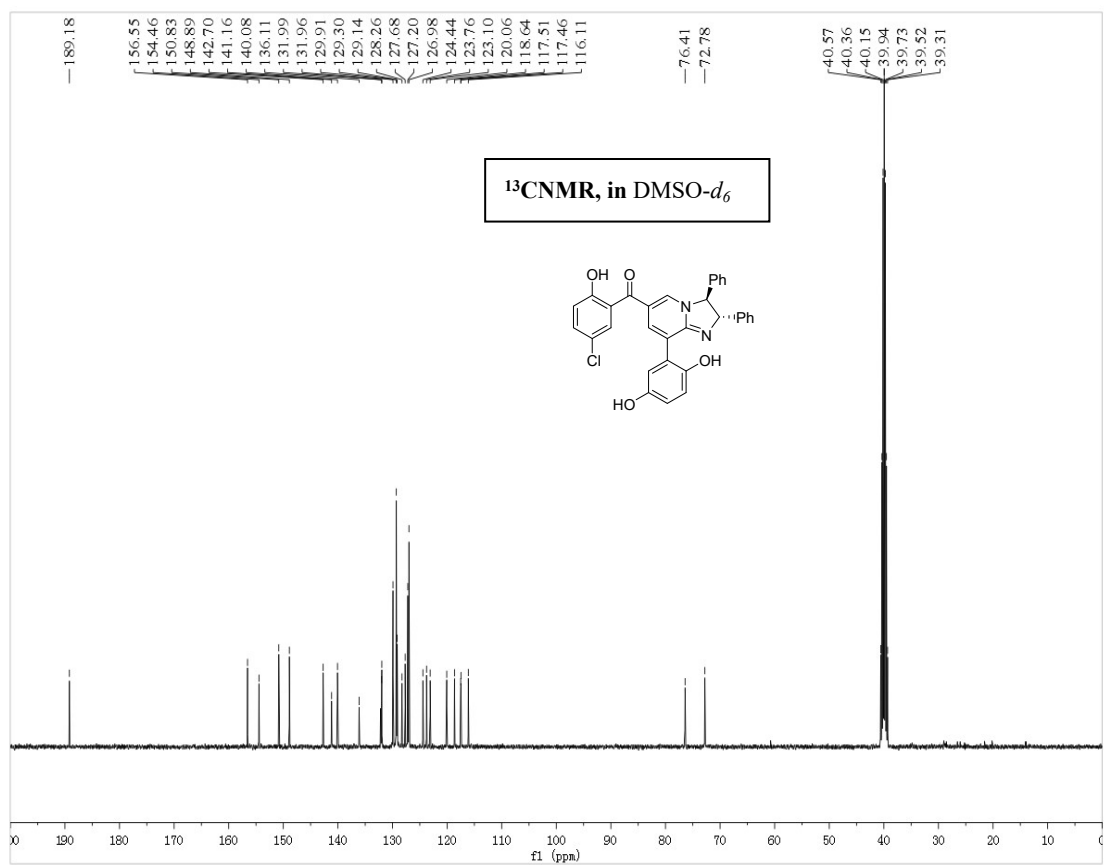
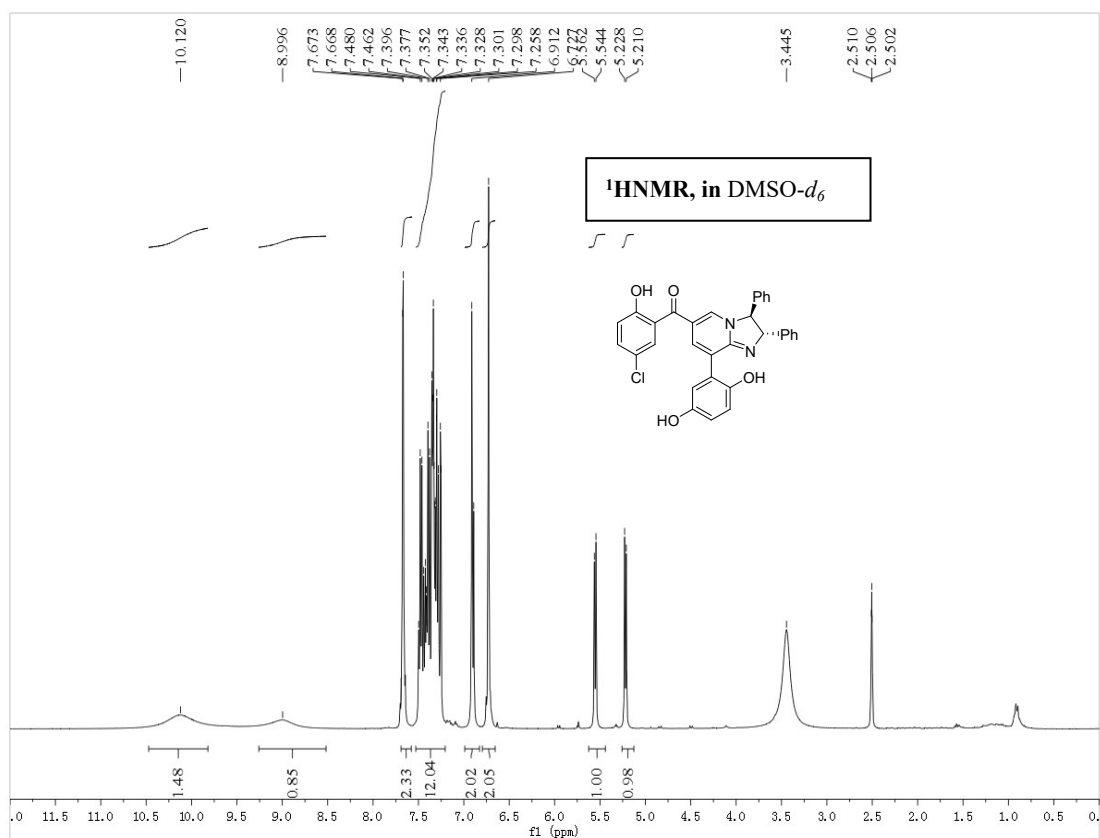
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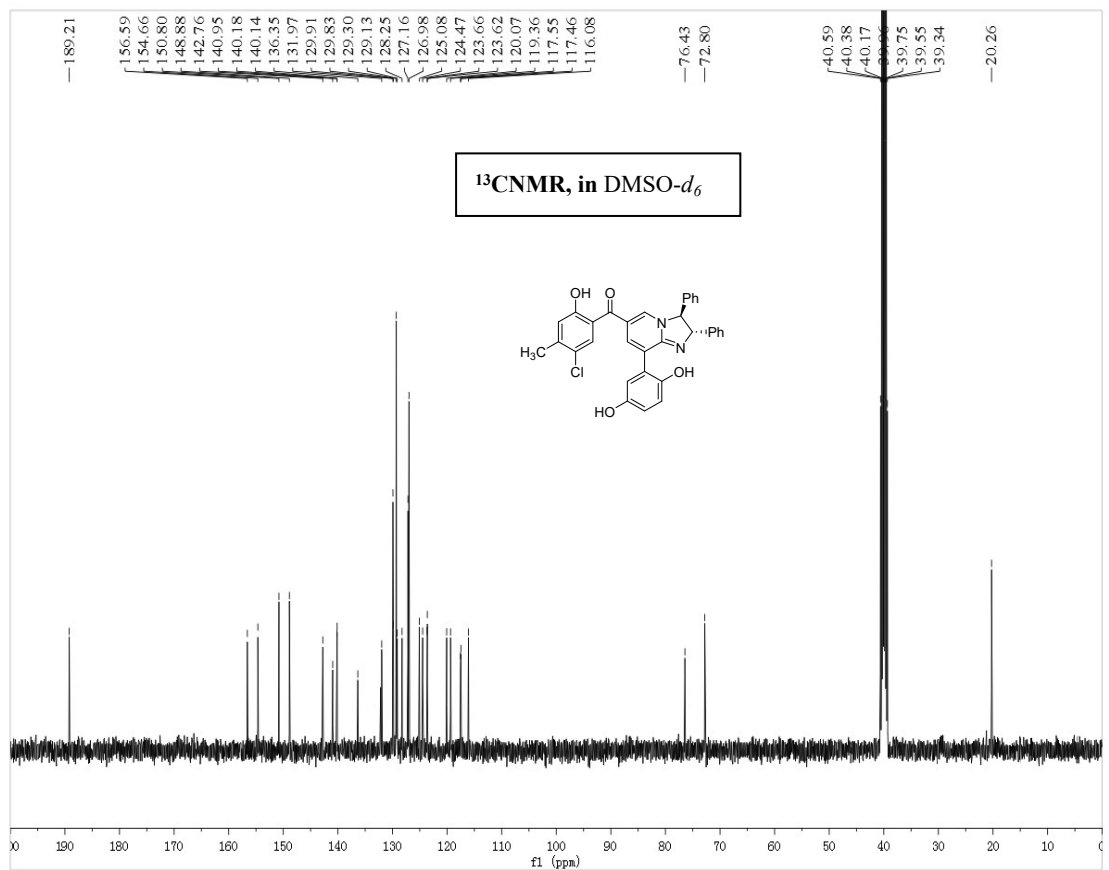
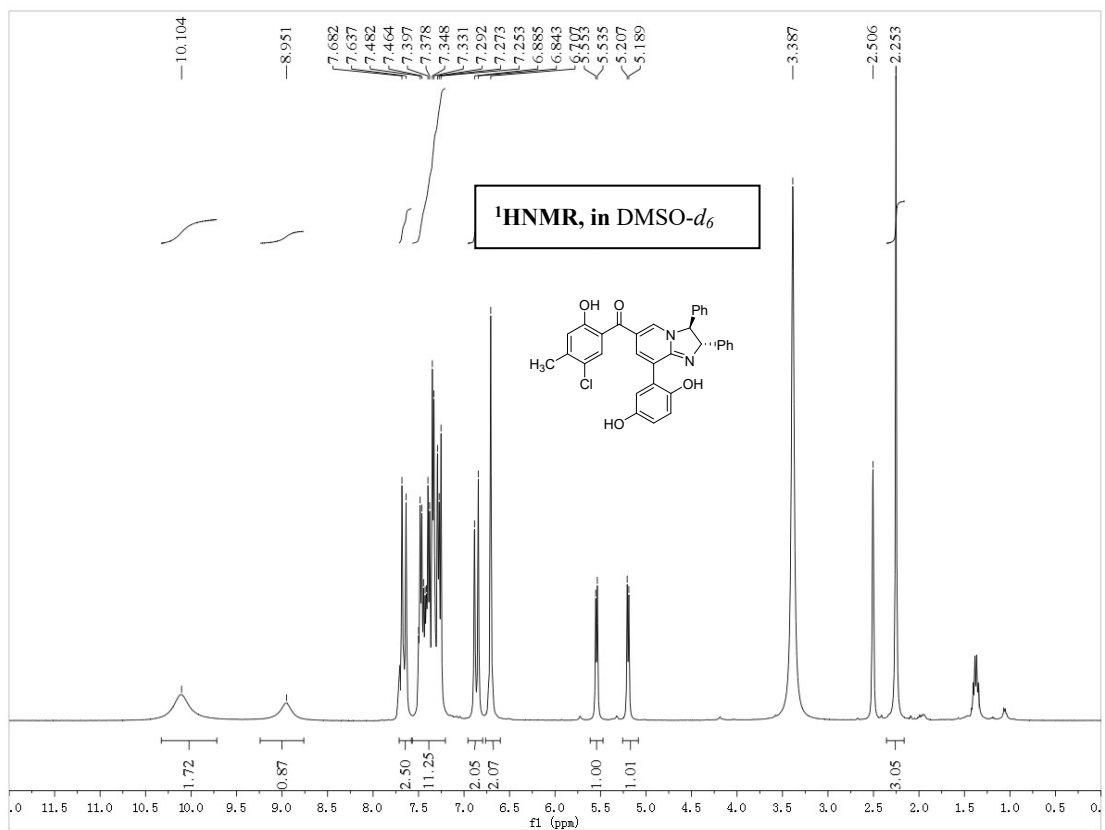
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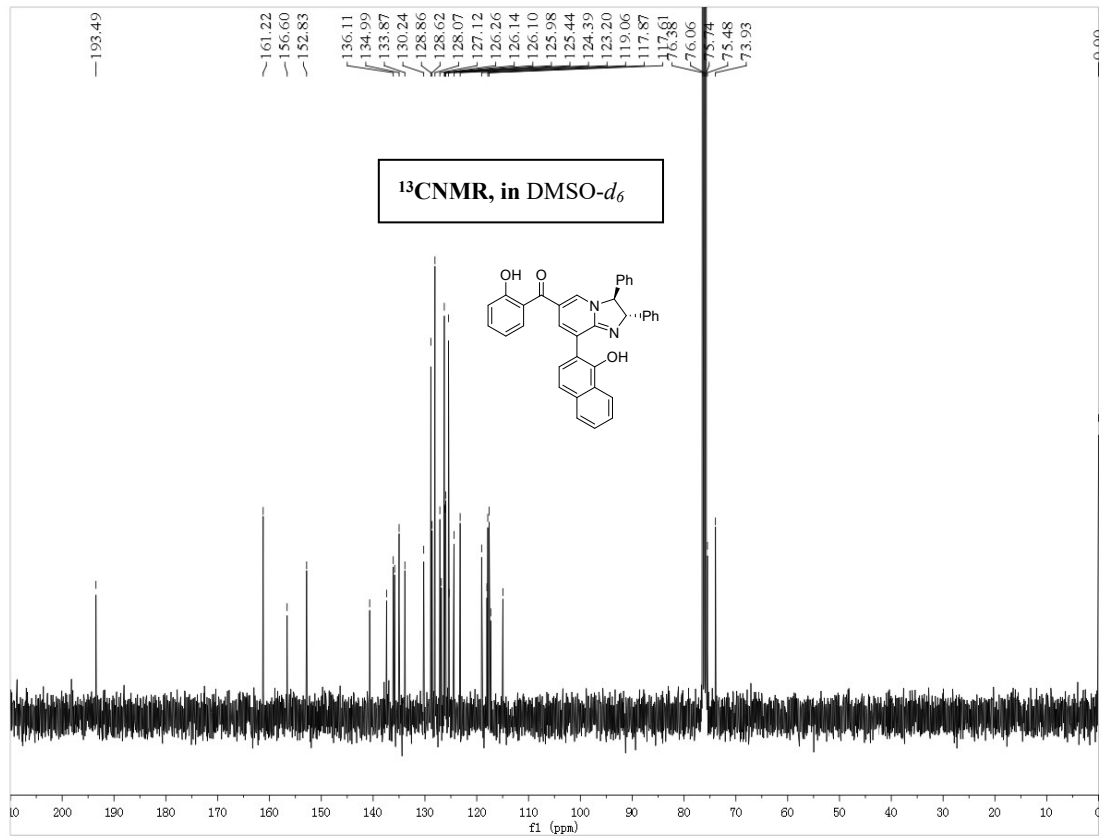
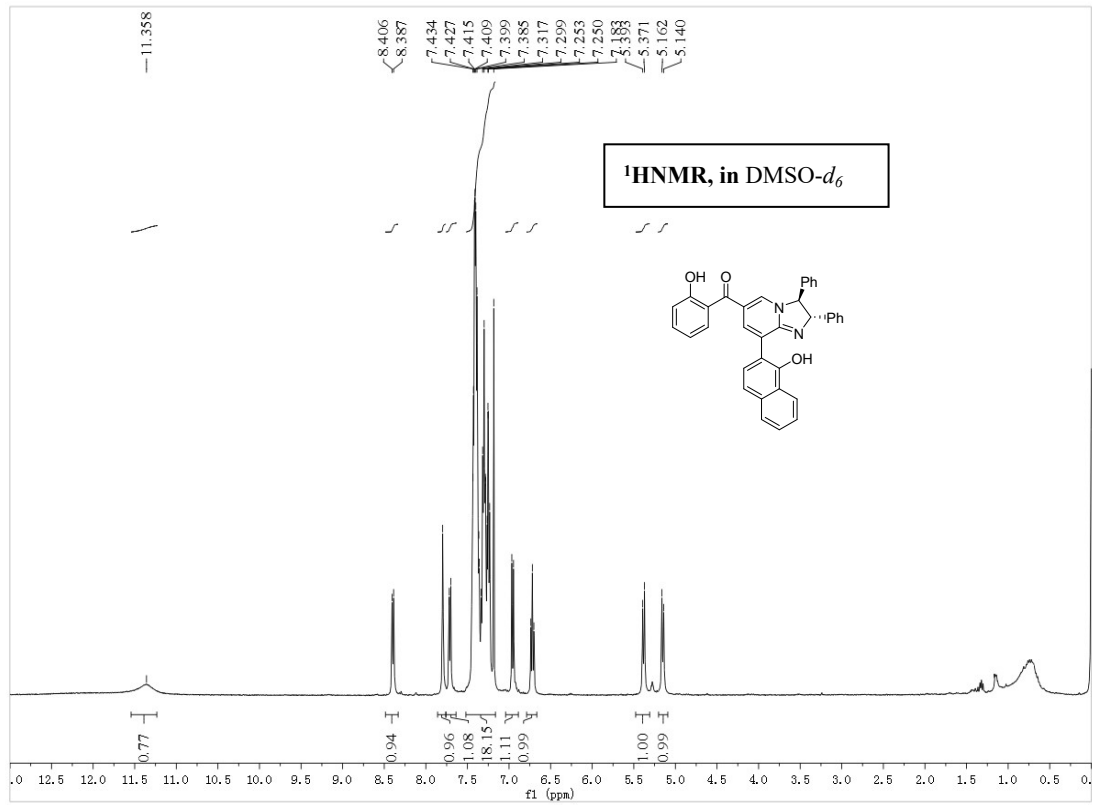
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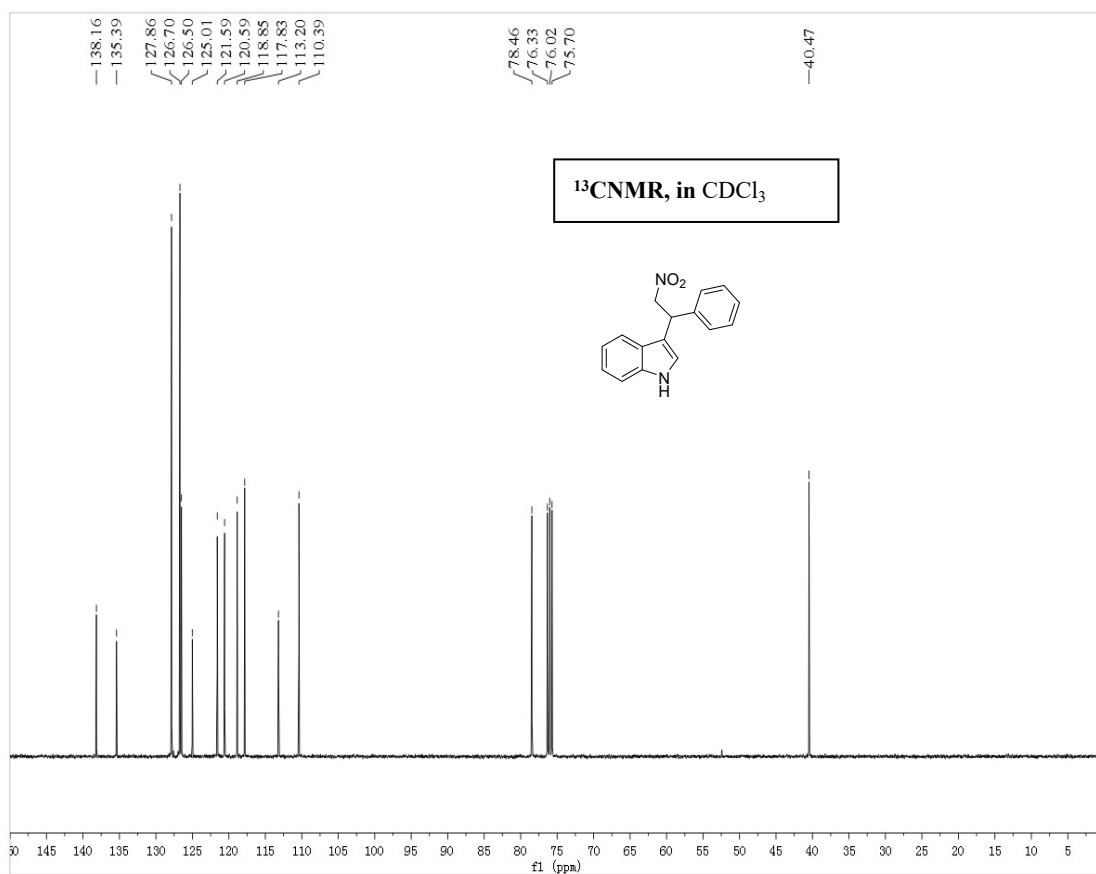
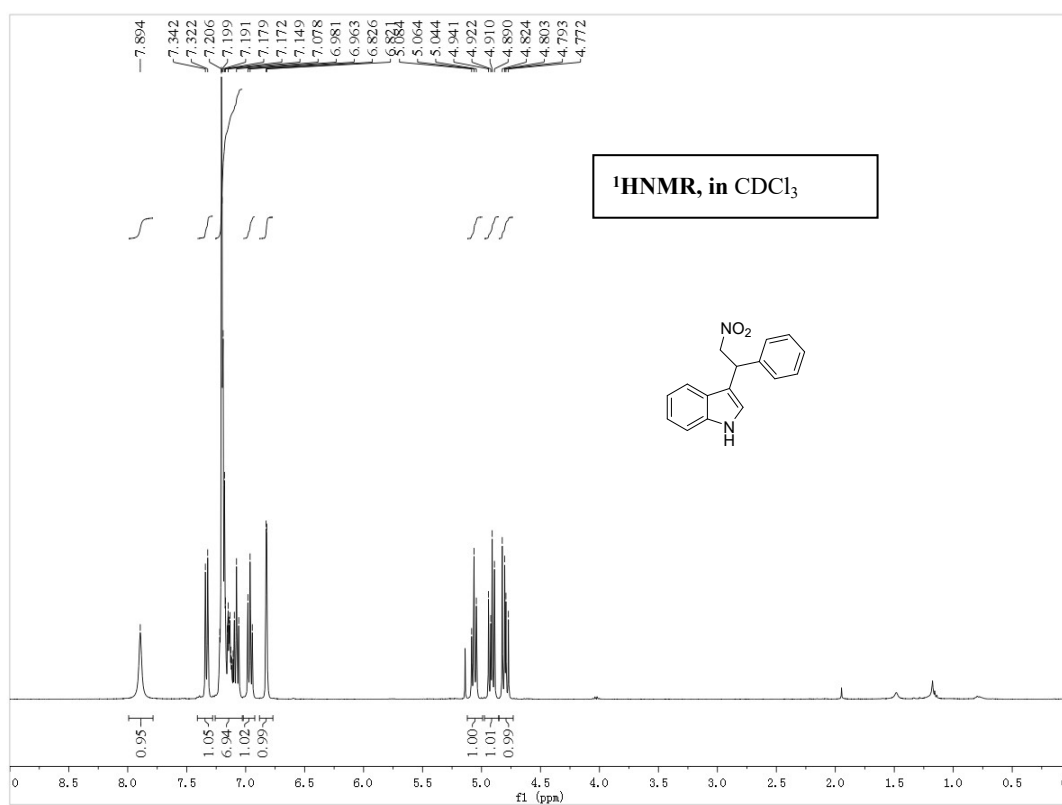
¹H and ¹³C NMR of 4j



¹H and ¹³C NMR of 4k



¹H and ¹³C NMR of 7a



HPLC of 7a

