

Supporting Information

Synthesis of furo[3,4-c]quinolin-3(1*H*)-one derivatives through TMG Catalyzed Intramolecular aza-MBH Reaction based on the furanones

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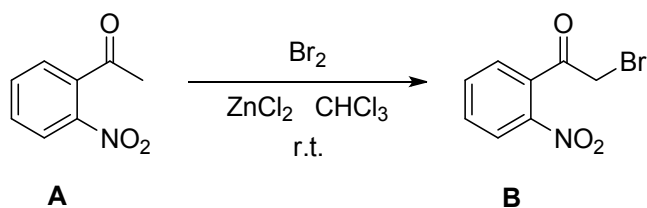
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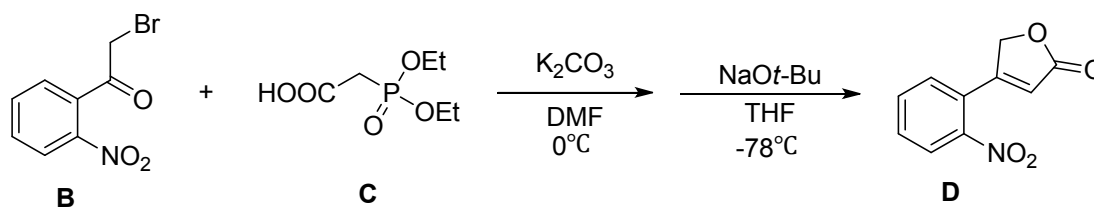
General information

Unless otherwise stated, all reagents were purchased from commercial suppliers and were used without further purification. 2(5H)-furanone derivatives **1** was not commercially available and was prepared in our lab. Reactions were monitored by thin layer chromatography (TLC) on GF₂₅₄ silica gel plates. ¹H NMR spectra and ¹³C NMR spectra were recorded on a Bruker AVANCE III 400 (400 MHz) spectrometer in needful D-reagents with tetramethylsilane (TMS) as an internal reference. Data for ¹H NMR were reported as follows: chemical shift (ppm), and multiplicity (s = singlet, d = doublet, t = triplet, dd = double of doublet, m = multiplet), coupling constants (Hz) and integration; Data for ¹³C NMR were reported as ppm. Melting points were measured on an X₄-type micro-melting point apparatus and were uncorrected.

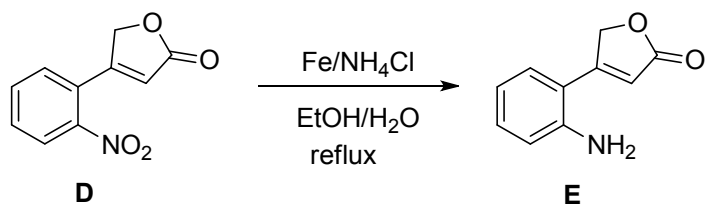
Synthesis of 2(5H)-furanone derivatives **1**



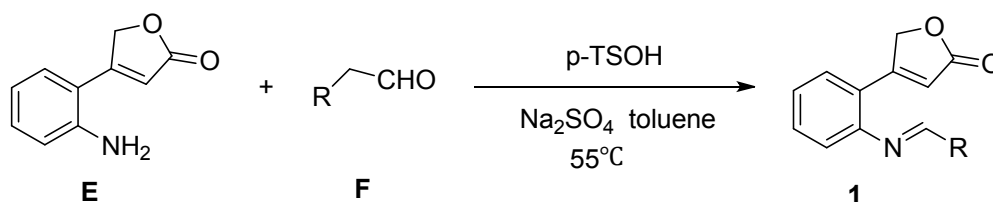
To the solution of **A** (57.6mmol) and ZnCl_2 (100mg) in chloroform (100ml) was added the solution of Br_2 (3ml 57.6mmol) in chloroform (20ml) dropwise for a period of 2h at room temperature. The mixture was stirred at room temperature for another 10h. Then, the reaction was quenched with 10% (w/w) NaHCO_3 aq. (50ml) and extracted with chloroform ($3 \times 30\text{ml}$). The combined organic layer was dried by Na_2SO_4 , filtered and concentrated *in vacuo*. The residue was recrystallized to give the desired product **B** (yield 79%) as white solid.



To the solution of **B** (10mmol) and **C** (10mmol) in DMF (10ml) was added K_2CO_3 (10.5mmol) at 0°C . After 1h, water (40ml) was poured into the reaction mixture. Then 20% (w/w) HCl aq. was added to the solution to adjust pH between 4 and 5. The mixture was extracted with ethyl acetate ($3 \times 20\text{ml}$). The combined organic layer was dried by Na_2SO_4 , filtered and concentrated *in vacuo*. The residue was dissolved in dry THF (80ml) and stirred at -78°C for 10 minutes. Then, the suspending solution of NaOt-Bu (12mmol) in dry THF (25ml) was added dropwise into the reaction mixture for a period of 30mins. After that, the reaction mixture was stirred at -78°C for 1h and warmed to room temperature for another 1h. Then 20% (w/w) HCl aq. was added to adjust the pH between 5 and 6. After removed the solvent, the residue was treated with water (50ml) and extracted with ethyl acetate ($3 \times 20\text{ml}$). The combined organic layer was dried by Na_2SO_4 , filtered and concentrated *in vacuo*. The residue was purified by silica gel column chromatography to give the desired product **D** (50% for 2 steps) as yellow solid.

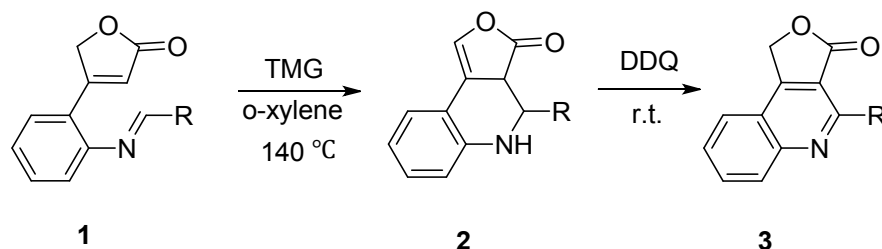


To the solution of **D** (5.4mmol) in ethanol (27ml) was added water (3ml), powder Fe (21.6mmol), and NH_4Cl (21.6mmol) subsequently. After 8 hours' reflux, the mixture was filtered and the filtrate was treated with water (50ml) and extracted with CH_2Cl_2 (25ml \times 3). The combined organic layer was dried by Na_2SO_4 , filtered and concentrated *in vacuo*. The residue was recrystallized by ethanol to give the desired product **E** (yield 71%) as red solid.



To the solution of **E** (0.5mmol), PTSA (0.025mmol) and Na_2SO_4 (1.5g) in toluene (5ml) was added the corresponding aldehyde. After stirred at 55°C for 6h, the mixture was cooled to room temperature. Then the solvent was removed and the residue was dissolved in hot ethanol and filtered. The filtrate was concentrated *in vacuo* and the residue was recrystallized by ethanol to give the desired product **1** (yield 60%-82%) as solid.

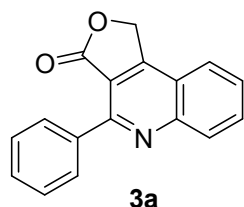
General procedure for the synthesis of the 4,5-dihydrofuro[3,4-c]quinolin-3(1H)-one derivatives



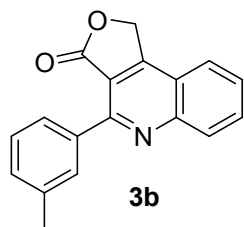
Compound **1** (0.2mmol), TMG (0.04mmol) was dissolved in *o*-xylene (2ml). After 7 hours' reflux, the reaction mixture was cooled to room temperature. Then the solvent was removed and the residue was purified by silica gel column chromatography to give the pure intermediate **2**. Later on, the intermediate **2** was dissolved in CH_2Cl_2 and DDQ (1eq.) was added, stirred for five

minutes at room temperature. The solution was washed by saturated NaHCO₃ solution; the organic layer was dried by Na₂SO₄, filtered. The filtrate was concentrated to give the final product **3** as solid.

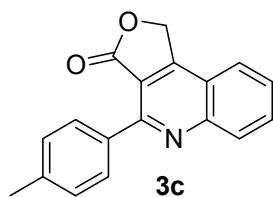
Scope of the highly substituted quinoline derivatives



2-Phenylfuro[3,4-c]quinolin-3(1H)-one 3a: Obtained in 69% yield; white solid; m.p. 241.5-244.7°C; ¹H NMR (400 MHz, DMSO): δ 8.22 (d, *J* = 8.4 Hz, 1H), δ 8.13 (d, *J* = 8.0 Hz, 1H), δ 8.01 (t, *J* = 7.6 Hz, 1H), δ 7.88-7.94 (m, 2H), δ 7.79 (t, *J* = 7.6 Hz, 1H), δ 7.50-7.56 (m, 3H), δ 5.86 (s, 2H); ¹³C NMR (100 MHz, CDCl₃): δ 169.0, 158.1, 157.1, 149.1, 136.3, 133.1, 130.7, 130.0, 130.0, 128.1, 128.1, 123.0, 121.0, 116.5, 67.4; ES-HRMS: Calcd for C₁₇H₁₂NO₂ [M+H]⁺, 262.0868, Found 262.0860.

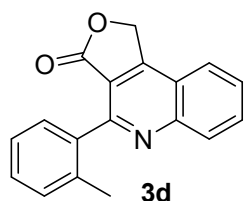


2-(3-Methylphenyl)furo[3,4-c]quinolin-3(1H)-one 3b: Obtained in 70% yield; yellow solid; m.p. 184.6-185.6°C; ¹H NMR (400 MHz, DMSO): δ 8.23 (d, *J* = 8.4 Hz, 1H), δ 8.15 (d, *J* = 7.6 Hz, 1H), δ 7.99-8.06 (m, 1H), δ 7.81 (t, *J* = 7.6 Hz, 1H), δ 7.68-7.74 (m, 2H), δ 7.41 (t, *J* = 7.6 Hz, 1H), δ 7.35 (d, *J* = 7.6 Hz, 1H), δ 5.87 (s, 2H); δ 2.42 (s, 3H); ¹³C NMR (100 MHz, DMSO): δ 169.0, 159.7, 156.0, 148.1, 136.8, 136.4, 133.3, 130.4, 130.1, 129.5, 128.0, 127.5, 127.3, 124.6, 120.7, 116.0, 68.1, 21.0; ES-HRMS: Calcd for C₁₈H₁₄NO₂ [M+H]⁺, 276.1025, Found 276.1025.

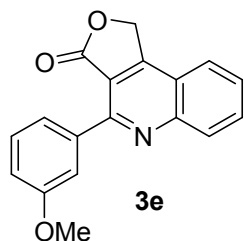


2-(4-Methylphenyl)furo[3,4-c]quinolin-3(1H)-one 3c: Obtained in 64% yield; yellow solid; m.p.

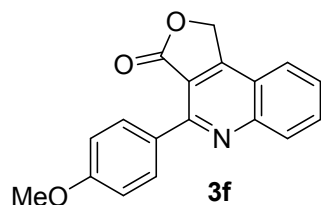
204.6-205.9°C; ¹H NMR (400 MHz, DMSO): δ 8.20 (d, *J* = 8.4 Hz, 1H), δ 8.12 (d, *J* = 8.0 Hz, 1H), δ 8.00 (t, *J* = 7.6 Hz, 1H), δ 7.83 (d, *J* = 8.0 Hz, 2H), δ 7.77 (t, *J* = 7.6 Hz, 1H), δ 7.33 (d, *J* = 8.0 Hz, 2H), δ 5.85 (s, 2H); δ 2.41(s, 3H); ¹³C NMR (100 MHz, DMSO): δ 169.5, 160.1, 156.3, 148.7, 139.7, 134.2, 133.7, 130.4, 130.0, 128.8, 128.3, 125.0, 121.1, 116.4, 68.6, 21.5; ES-HRMS: Calcd for C₁₈H₁₄NO₂ [M+H]⁺, 276.1025, Found 276.1025.



2-(2-Methylphenyl)furo[3,4-*c*]quinolin-3(1*H*)-one 3d: Obtained in 75% yield; yellow solid; m.p. 176.2-177.7°C; ¹H NMR (400 MHz, DMSO): δ 8.20 (dd, *J* = 13.7, 4.8 Hz, 2H), 8.04 (m, 1H), 7.82 (m, 1H), 7.44 – 7.37 (m, 1H), 7.36 – 7.27 (m, 3H), 5.90 (s, 1H), 2.16 (s, 2H). ¹³C NMR (100 MHz, DMSO): δ 169.2, 159.2, 157.1, 148.7, 137.2, 136.3, 133.6, 130.2, 130.1, 130.0, 129.1, 128.6, 125.6, 125.2, 121.4, 117.5, 68.8, 19.8. ES-HRMS: Calcd for C₁₈H₁₄NO₂ [M+H]⁺, 276.1023, Found 276.1019.

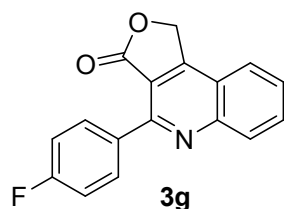


2-(3-Methoxyphenyl)furo[3,4-*c*]quinolin-3(1*H*)-one 3e: Obtained in 65% yield; yellow solid; m.p. 176.9-178.2°C; ¹H NMR (400 MHz, DMSO): δ 8.24 (d, *J* = 8.4 Hz, 1H), δ 8.16 (d, *J* = 8.0 Hz, 1H), δ 8.03 (t, *J* = 7.6 Hz, 1H), δ 7.82 (t, *J* = 7.6 Hz, 1H), δ 7.41-7.52 (m, 3H), δ 7.11 (d, *J* = 8.4 Hz, 1H), δ 5.88 (s, 2H); δ 3.84(s, 3H); ¹³C NMR (100 MHz, DMSO): δ 169.4, 160.2, 159.1, 156.1, 148.6, 138.2, 133.8, 130.1, 129.3, 128.6, 125.1, 122.7, 121.3, 116.6, 116.0, 115.7, 68.6, 55.7; ES-HRMS: Calcd for C₁₈H₁₄NO₃ [M+H]⁺, 292.0974, Found 292.0973.

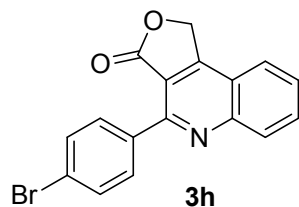


2-(4-Methoxyphenyl)furo[3,4-*c*]quinolin-3(1*H*)-one 3f: Obtained in 35% yield; yellow solid;

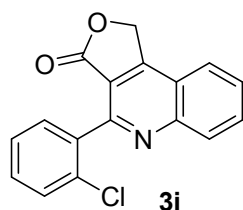
m.p. 169.6-171.3°C; ¹H NMR (400 MHz, DMSO): δ 8.19 (d, *J* = 8.4 Hz, 1H), δ 8.11 (d, *J* = 8.0 Hz, 1H), δ 8.00 (t, *J* = 8.0 Hz, 1H), δ 7.94 (d, *J* = 8.0 Hz, 2H), δ 7.77 (t, *J* = 7.6 Hz, 1H), δ 7.08 (d, *J* = 8.8 Hz, 2H), δ 5.86 (s, 2H); δ 3.86 (s, 3H); ¹³C NMR (100 MHz, DMSO): δ 169.7, 161.1, 160.2, 155.9, 148.7, 133.7, 132.1, 129.9, 129.3, 128.1, 125.0, 121.0, 116.3, 113.7, 68.6, 55.8; ES-HRMS: Calcd for C₁₈H₁₄NO₃[M+H]⁺, 292.0974, Found 292.0970.



2-(4-fluorophenyl)furo[3,4-c]quinolin-3(1H)-one 3g: Obtained in 44% yield; yellow solid; m.p. >250°C; ¹H NMR (400 MHz, DMSO): δ 8.24 (d, *J* = 8.4 Hz, 1H), δ 8.17 (d, *J* = 8.0 Hz, 1H), δ 7.96-8.07 (m, 3H), δ 7.82 (t, *J* = 7.6 Hz, 1H), δ 7.37 (t, *J* = 8.8 Hz, 2H), δ 7.50-7.56 (m, 3H), δ 5.90 (s, 2H); ¹³C NMR (100 MHz, DMSO): δ 169.6, 163.6 (d, 245.7Hz), 160.2, 155.2, 148.6, 133.8, 133.3 (d, 2.6Hz), 132.8 (d, 8.6Hz), 130.0, 128.6, 125.1, 121.3, 116.5, 115.2 (d, 21.5Hz), 68.7; ES-HRMS: Calcd for C₁₇H₁₀FNO₂[M+H]⁺, 280.0774, Found 280.0771.

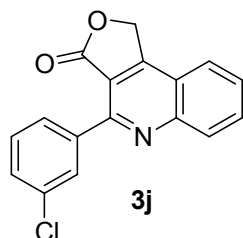


2-(4-bromophenyl)furo[3,4-c]quinolin-3(1H)-one 3h: Obtained in 53% yield; yellow solid; m.p. >235°C; ¹H NMR (400 MHz, CDCl₃): δ 8.34 (d, *J* = 8.5 Hz, 1H), 7.98 (t, *J* = 7.8 Hz, 1H), 7.92 (dd, *J* = 12.0, 8.6 Hz, 3H), 7.75 (t, *J* = 7.5 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 2H), 5.72 (s, 2H); ¹³C NMR (100 MHz, DMSO): δ 169.5, 160.2, 155.1, 148.6, 136.1, 133.9, 132.5, 131.2, 130.1, 128.7, 125.2, 123.9, 121.4, 116.6, 68.8; ES-HRMS: Calcd for C₁₇H₁₁BrNO₂[M+H]⁺, 339.9973, Found 339.9966.

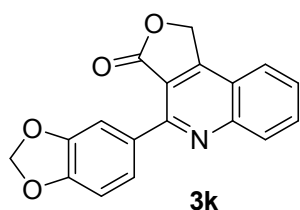


2-(2-chlorophenyl)furo[3,4-c]quinolin-3(1H)-one 3i: Obtained in 52% yield; red solid; m.p.

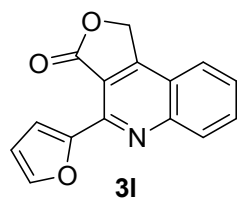
156.5-158.5°C; ¹H NMR (400 MHz, CDCl₃) δ 8.38 (d, *J* = 8.5 Hz, 1H), 8.06 – 7.90 (m, 2H), 7.80 (t, *J* = 7.5 Hz, 1H), 7.55 (m, 2H), 7.51 – 7.42 (m, 2H), 5.73 (s, 2H). ¹³C NMR (100 MHz, CDCl₃): δ 168.3, 156.7, 155.0, 149.1, 135.9, 133.3, 133.0, 130.8, 130.6, 129.5, 128.6, 126.9, 123.2, 121.6, 118.1, 109.9, 67.6. ES-HRMS: Calcd for C₁₇H₁₁ClNO₂ [M+H]⁺, 296.0472, Found 296.0476.



2-(3-chlorophenyl)furo[3,4-c]quinolin-3(1H)-one 3j: Obtained in 40% yield; white solid; m.p. >210°C; ¹H NMR (400 MHz, DMSO): δ 8.27 (d, *J* = 8.4 Hz, 1H), δ 8.19 (d, *J* = 8.0 Hz, 1H), δ 8.06 (t, *J* = 7.2 Hz, 1H), δ 7.98 (s, 1H), δ 7.91 (t, *J* = 7.2 Hz, 1H), δ 7.85 (d, *J* = 7.2 Hz, 1H), δ 7.55-7.65 (m, 2H), δ 5.91 (s, 2H); ¹³C NMR (100 MHz, DMSO): δ 169.5, 160.1, 154.6, 148.5, 138.8, 136.7, 133.9, 132.9, 132.6, 130.1, 129.8, 129.1, 128.8, 125.1, 121.4, 116.7, 68.84; ES-HRMS: Calcd for C₁₇H₁₁ClNO₂ [M+H]⁺, 296.0478, Found 296.0481.

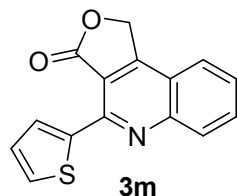


2-(benzo[d][1,3]dioxol-5-yl)furo[3,4-c]quinolin-3(1H)-one 3k: Obtained in 40% yield; yellow solid; m.p. 219.8-221.2°C; ¹H NMR (400 MHz, DMSO): δ 8.19 (d, *J* = 8.4 Hz, 1H), δ 8.12 (d, *J* = 8.0 Hz, 1H), δ 7.97-8.03 (m, 1H), δ 7.75-7.80 (m, 1H), δ 7.49-7.54 (m, 2H), δ 7.07 (d, *J* = 8.0 Hz, 1H), δ 6.14 (s, 2H); δ 5.85 (s, 2H). ¹³C NMR (100 MHz, DMSO): δ 169.6, 160.2, 155.6, 149.1, 148.6, 147.3, 133.7, 130.9, 129.9, 128.3, 125.2, 125.0, 121.1, 116.4, 110.7, 108.2, 101.9, 68.6; ES-HRMS: Calcd for C₁₈H₁₂NO₄ [M+H]⁺, 306.0766, Found 306.0768.

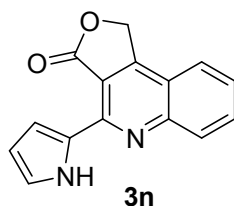


2-(furan-2-yl)furo[3,4-c]quinolin-3(1H)-one 3l: Obtained in 50% yield; brown solid; m.p. >250°C; ¹H NMR (400 MHz, DMSO): δ 8.18 (d, *J* = 8.5 Hz, 1H), 8.09 (dd, *J* = 8.9, 5.9 Hz, 2H),

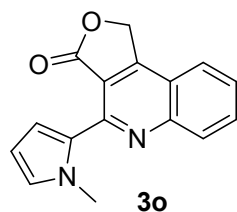
8.04 – 7.96 (m, 2H), 7.75 (t, $J = 7.5$ Hz, 1H), 6.77 (m, 1H), 5.87 (s, 2H). ^{13}C NMR (100 MHz, DMSO): δ 169.4, 160.6, 150.1, 148.4, 146.2, 144.8, 134.0, 129.7, 128.3, 125.1, 120.8, 117.5, 115.0, 112.8, 68.8. ES-HRMS: Calcd for $\text{C}_{15}\text{H}_{10}\text{NO}_3$ $[\text{M}+\text{H}]^+$, 252.0655, Found 252.0655.



2-(thiophen-2-yl)furo[3,4-*c*]quinolin-3(1*H*)-one 3m: Obtained in 39% yield; yellow solid; m.p. $>210^\circ\text{C}$; ^1H NMR (400 MHz, DMSO): δ 8.82 (dd, $J = 3.8, 1.0$ Hz, 1H), 8.13 – 8.05 (m, 2H), 7.99 (m, $J = 8.5, 6.9, 1.4$ Hz, 1H), 7.83 (dd, $J = 5.1, 1.0$ Hz, 1H), 7.75 (m, $J = 8.1, 7.0, 1.1$ Hz, 1H), 7.27 (dd, $J = 5.1, 3.9$ Hz, 1H), 5.89 (s, 2H). ^{13}C NMR (100 MHz, DMSO): δ 169.9, 160.9, 149.2, 148.2, 142.7, 134.1, 132.4, 131.7, 129.3, 129.0, 128.2, 125.1, 120.9, 115.3, 68.9. ES-HRMS: Calcd for $\text{C}_{15}\text{H}_{10}\text{NO}_2\text{S}$ $[\text{M}+\text{H}]^+$, 268.0429, Found 268.0426.

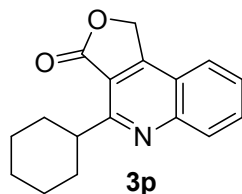


2-(1*H*-pyrrol-2-yl)furo[3,4-*c*]quinolin-3(1*H*)-one 3n: Obtained in 59% yield; yellow solid; m.p. $>210^\circ\text{C}$; ^1H NMR (400 MHz, DMSO): δ 11.93 (s, 1H), 8.07 (d, $J = 8.5$ Hz, 1H), 8.01 (d, $J = 8.1$ Hz, 1H), 7.94 (t, $J = 7.6$ Hz, 1H), 7.73 (s, 1H), 7.65 (t, $J = 7.4$ Hz, 1H), 7.13 (s, 1H), 6.29 (s, 1H), 5.88 (s, 2H). ^{13}C NMR (100 MHz, DMSO): δ 170.9, 160.8, 148.9, 146.9, 133.9, 129.7, 128.9, 126.9, 125.1, 123.5, 120.1, 115.4, 114.6, 110.3, 69.3. ES-HRMS: Calcd for $\text{C}_{15}\text{H}_{11}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 251.0815, Found 251.0817.

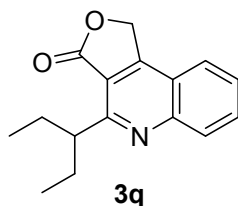


2-(1-methyl-1*H*-pyrrol-2-yl)furo[3,4-*c*]quinolin-3(1*H*)-one 3o: Obtained in 50% yield; yellow solid; m.p. $>210^\circ\text{C}$; ^1H NMR (400 MHz, DMSO): δ 8.11 (d, $J = 8.4$ Hz, 1H), 8.05 (d, $J = 8.0$ Hz, 1H), 7.99 – 7.92 (m, 1H), 7.71 (t, $J = 7.5$ Hz, 1H), 7.11 – 7.02 (m, 2H), 6.17 (dd, $J = 3.7, 2.7$ Hz,

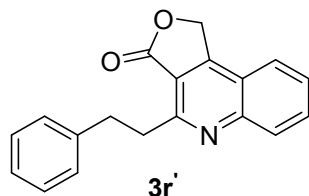
1H), 5.81 (s, 2H), 3.98 (s, 3H). ¹³C NMR (100 MHz, DMSO): δ 169.3, 160.4, 148.8, 148.2, 133.6, 129.4, 128.5, 128.0, 127.6, 124.9, 120.1, 117.5, 115.9, 107.6, 68.2, 37.1. ES-HRMS: Calcd for C₁₆H₁₃N₂O₂ [M+H]⁺, 265.0971, Found 265.0971.



2-cyclohexylfuro[3,4-c]quinolin-3(1H)-one 3p: Obtained in 75% yield; white solid; m.p. 179.1-182.3°C; ¹H NMR (400 MHz, CDCl₃): δ 8.22 (d, *J* = 8.5 Hz, 1H), 7.92 – 7.84 (m, 1H), 7.79 (d, *J* = 8.1 Hz, 1H), 7.63 (dd, *J* = 11.1, 4.0 Hz, 1H), 5.60 (s, 2H), 3.84 (m, 1H), 2.05 – 1.71 (m, 7H), 1.59 – 1.49 (m, 2H), 1.43 – 1.30 (m, 1H). ¹³C NMR (100 MHz, CDCl₃): δ 169.9, 165.0, 156.7, 149.3, 132.4, 130.3, 127.2, 123.0, 120.8, 116.5, 67.5, 58.4, 41.3, 31.5, 26.4, 26.0, 18.4. ES-HRMS: Calcd for C₁₇H₁₈NO₂ [M+H]⁺, 268.1328, Found 268.1332.

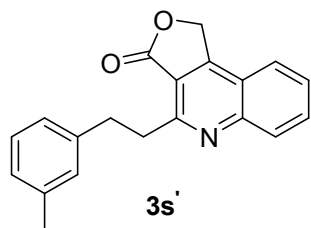


2-(pentan-3-yl)furo[3,4-c]quinolin-3(1H)-one 3q: Obtained in 45% yield; white solid; m.p. 116.5-117.2°C; ¹H NMR (400 MHz, CDCl₃): δ 8.24 (d, *J* = 8.5 Hz, 1H), 7.94 – 7.87 (m, 1H), 7.83 (d, *J* = 8.1 Hz, 1H), 7.66 (t, *J* = 7.2 Hz, 1H), 5.63 (s, 2H), 4.02 – 3.86 (m, 1H), 2.12 – 1.94 (m, 2H), 1.93 – 1.76 (m, 2H), 0.85 (t, *J* = 7.4 Hz, 6H). ¹³C NMR (100 MHz, CDCl₃): δ 164.7, 156.2, 149.4, 132.4, 130.3, 127.2, 123.0, 120.6, 117.7, 67.3, 44.7, 27.3, 11.9. ES-HRMS: Calcd for C₁₆H₁₈NO₂ [M+H]⁺, 256.1328, Found 256.1332.

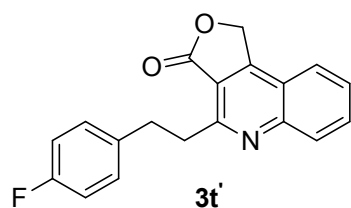


2-phenethylfuro[3,4-c]quinolin-3(1H)-one 3r': Obtained in 49% yield; yellow solid; m.p. 166.5-169.4°C; ¹H NMR (400 MHz, CDCl₃): δ 8.25 (d, *J* = 8.5 Hz, 1H), 7.99 – 7.59 (m, 3H), 7.48 – 7.12 (m, 6H), 5.64 (s, 2H), 3.76 – 3.63 (m, 2H), 3.18 (dd, *J* = 9.7, 6.8 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃): δ 169.9, 160.0, 156.9, 149.1, 141.4, 132.8, 130.0, 128.7, 128.3, 127.6, 126.0, 124.7, 123.1,

121.0, 117.3, 110.0, 67.8, 36.8, 35.0. ES-HRMS: Calcd for C₁₉H₁₆NO₂ [M+H]⁺, 290.1175, Found 290.1170.



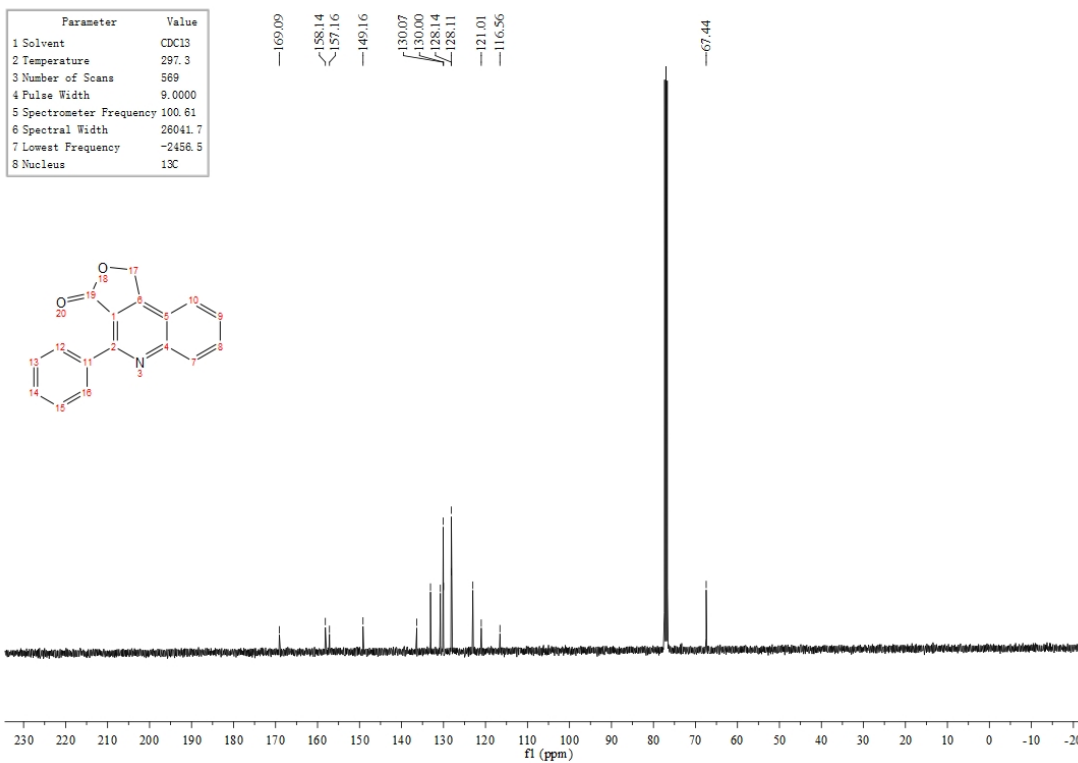
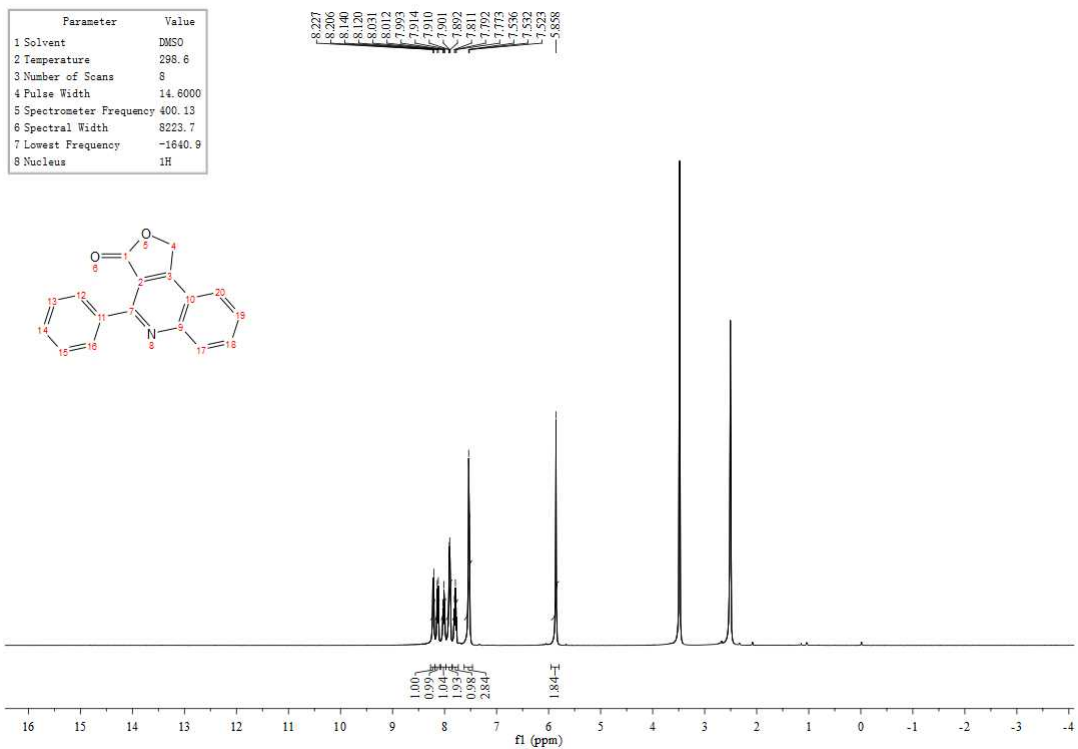
2-(3-methylphenethyl)furo[3,4-c]quinolin-3(1H)-one 3s': Obtained in 52% yield; yellow solid; m.p. 166.5-170.1°C; ¹H NMR (400 MHz, CDCl₃): δ 8.27 (d, *J* = 8.5 Hz, 1H), 7.97 – 7.90 (m, 1H), 7.84 (d, *J* = 8.1 Hz, 1H), 7.69 (t, *J* = 7.5 Hz, 1H), 7.24 (d, *J* = 5.8 Hz, 1H), 7.21 (d, *J* = 4.5 Hz, 2H), 7.04 (t, *J* = 3.6 Hz, 1H), 5.66 (s, 2H), 3.72 – 3.65 (m, 2H), 3.19 – 3.07 (m, 2H), 2.36 (s, 3H). ¹³C NMR (100 MHz, CDCl₃): δ 160.2, 156.9, 149.2, 141.3, 137.9, 132.8, 130.0, 129.5, 128.2, 127.5, 126.7, 125.7, 124.0, 123.1, 121.1, 117.3, 67.8, 36.9, 35.0, 21.4. ES-HRMS: Calcd for C₂₀H₁₈NO₂ [M+H]⁺, 304.1332, Found 304.1326.



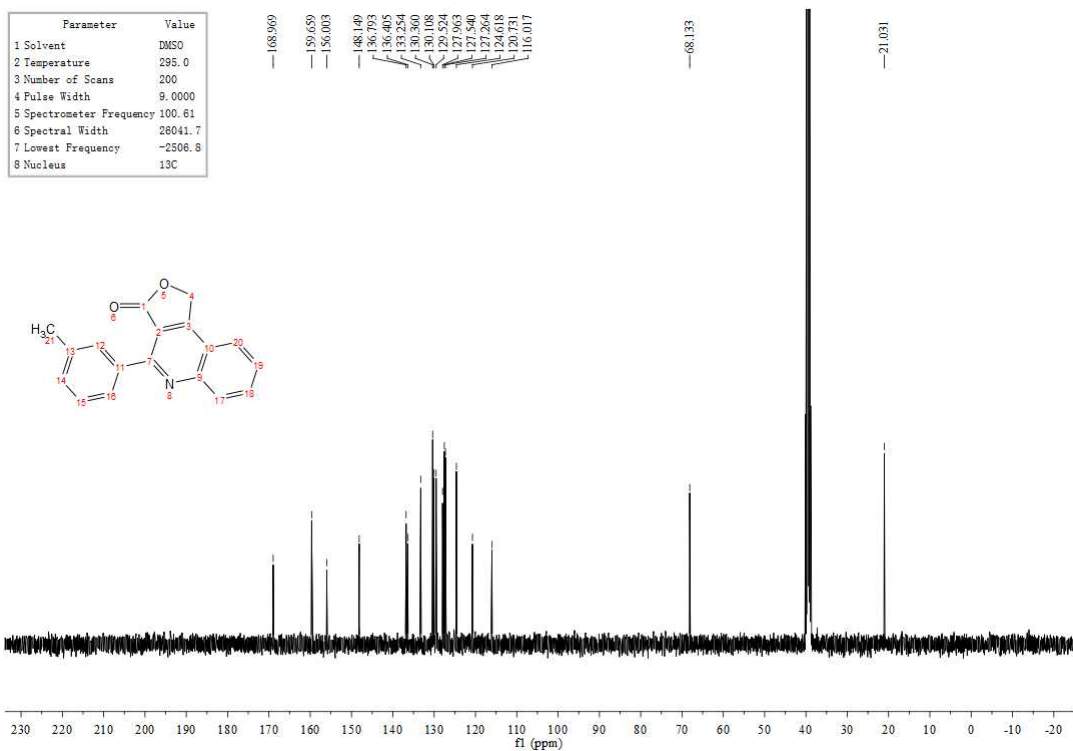
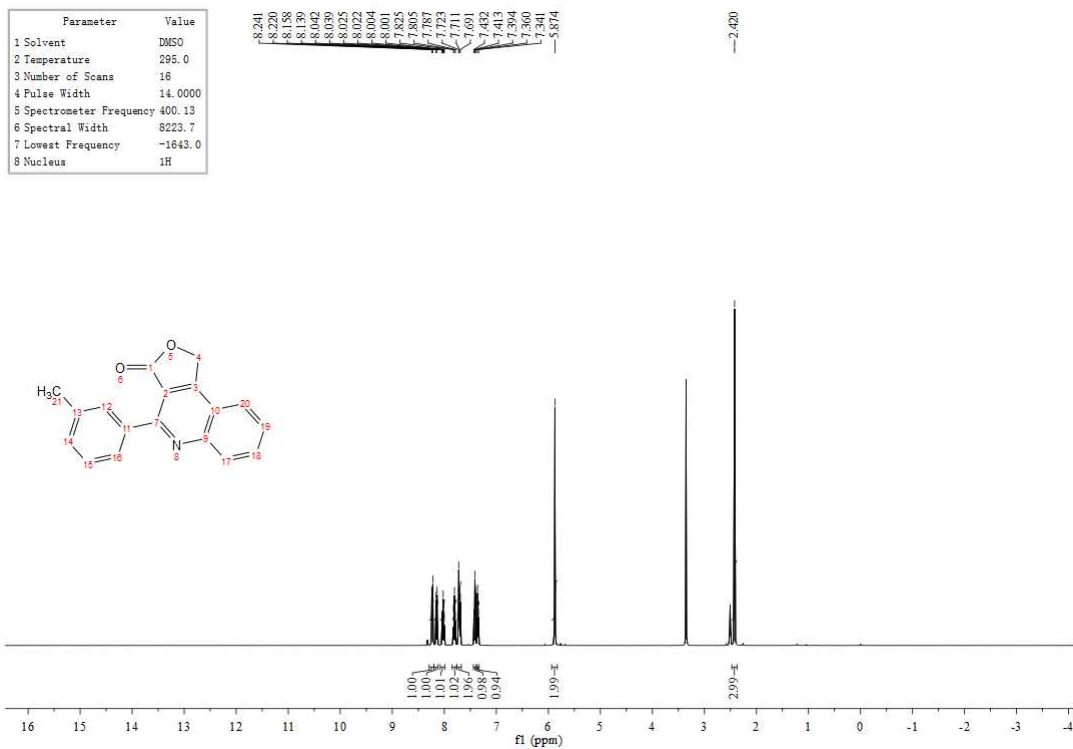
2-(4-fluorophenethyl)furo[3,4-c]quinolin-3(1H)-one 3t': Obtained in 49% yield; yellow solid; m.p. 187.1-190.7°C; ¹H NMR (400 MHz, CDCl₃): δ 8.24 (d, *J* = 8.5 Hz, 1H), 7.93 (s, 1H), 7.83 (d, *J* = 8.0 Hz, 0H), 7.70 (d, *J* = 7.5 Hz, 1H), 7.33 (dd, *J* = 8.2, 5.6 Hz, 1H), 6.97 (t, *J* = 8.7 Hz, 1H), 3.66 (dd, *J* = 9.5, 6.9 Hz, 1H), 3.18 – 3.10 (m, 1H). ¹³C NMR (100 MHz, CDCl₃): δ 169.8, 160.1 (d, *J* = 242 Hz), 159.7, 156.9, 149.1, 137.0 (d, *J* = 3.1 Hz), 132.8, 130.1 (d, *J* = 6 Hz), 127.6, 123.1, 121.0, 117.2, 115.1, 114.9, 67.8, 36.8, 34.1. ES-HRMS: Calcd for C₁₉H₁₅FNO₂ [M+H]⁺, 308.1081, Found 308.1075.

NMR Spectra for final Products 3a-3q, 3r'-3t'

¹H NMR and ¹³C NMR spectra of 3a

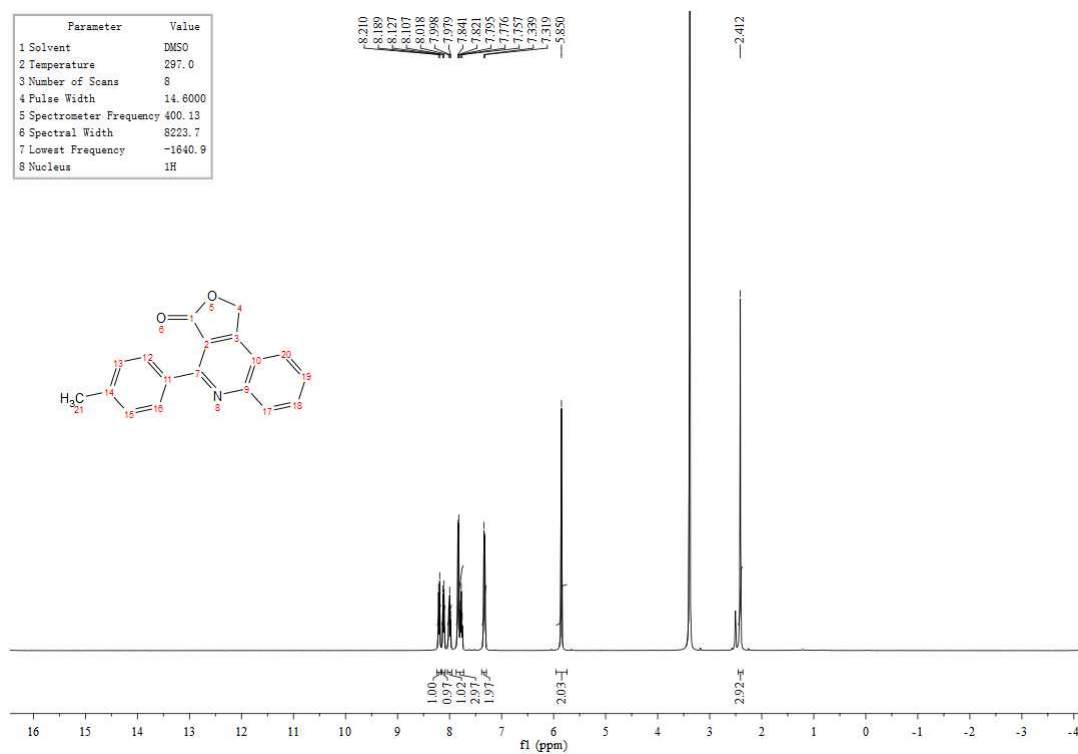


¹H NMR and ¹³C NMR spectra of 3b

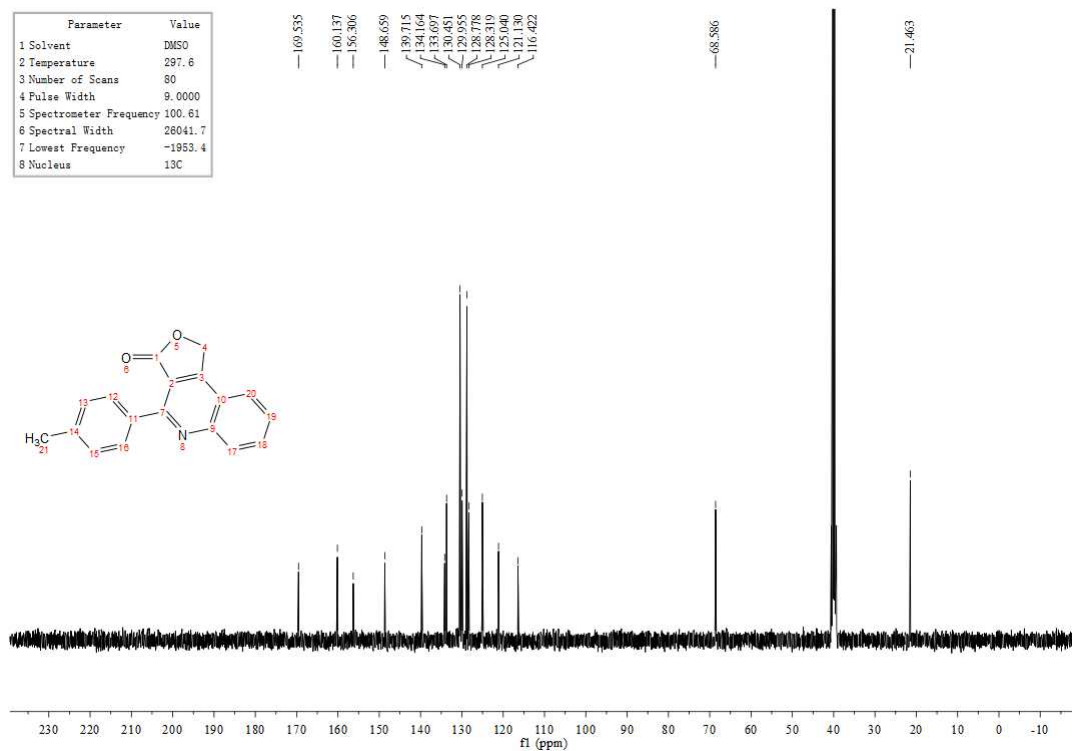


¹H NMR and ¹³C NMR spectra of 3c

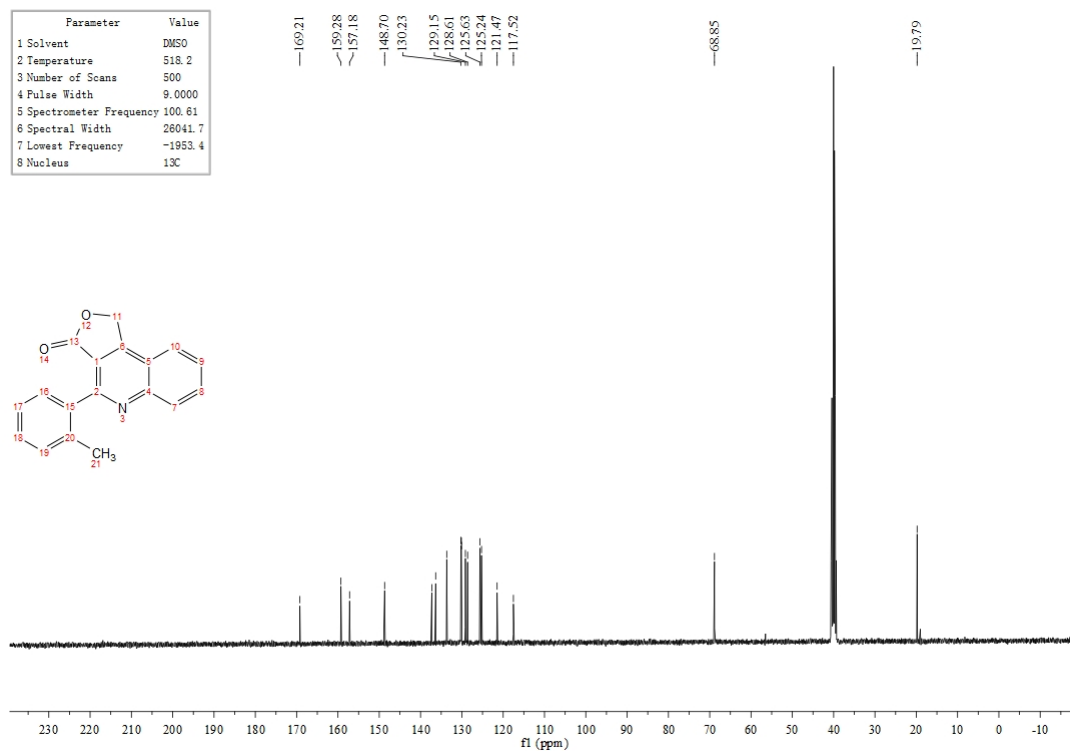
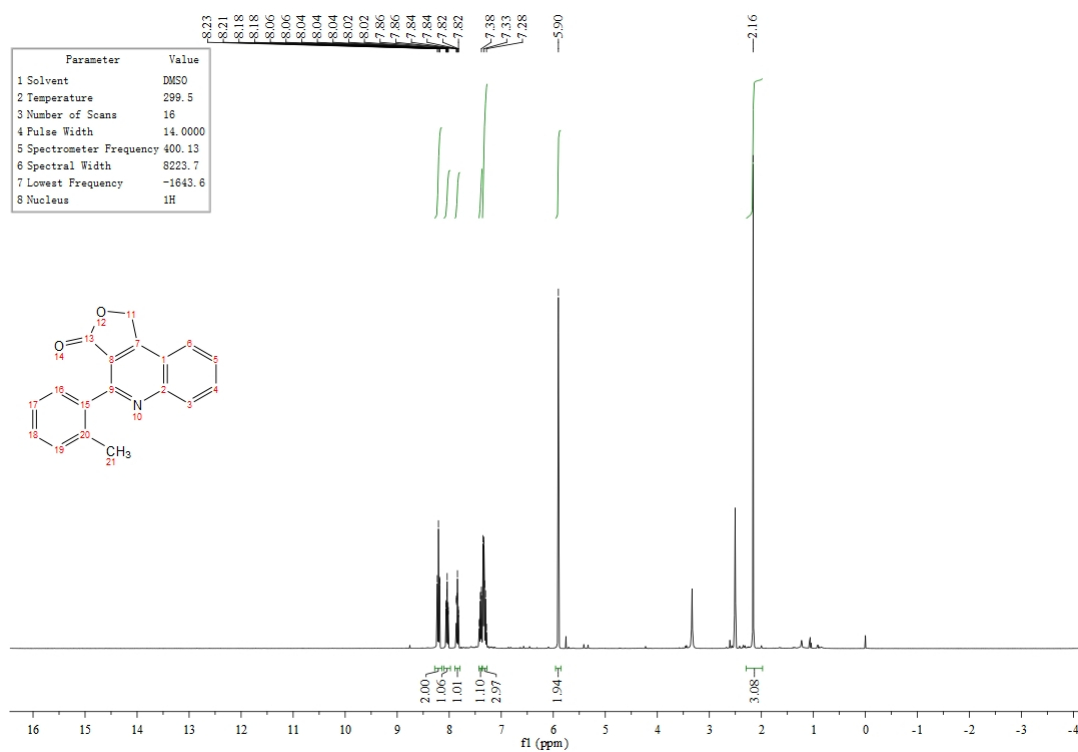
Parameter	Value
1 Solvent	DMSO
2 Temperature	297.0
3 Number of Scans	8
4 Pulse Width	14.6000
5 Spectrometer Frequency	400.13
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.9
8 Nucleus	¹ H



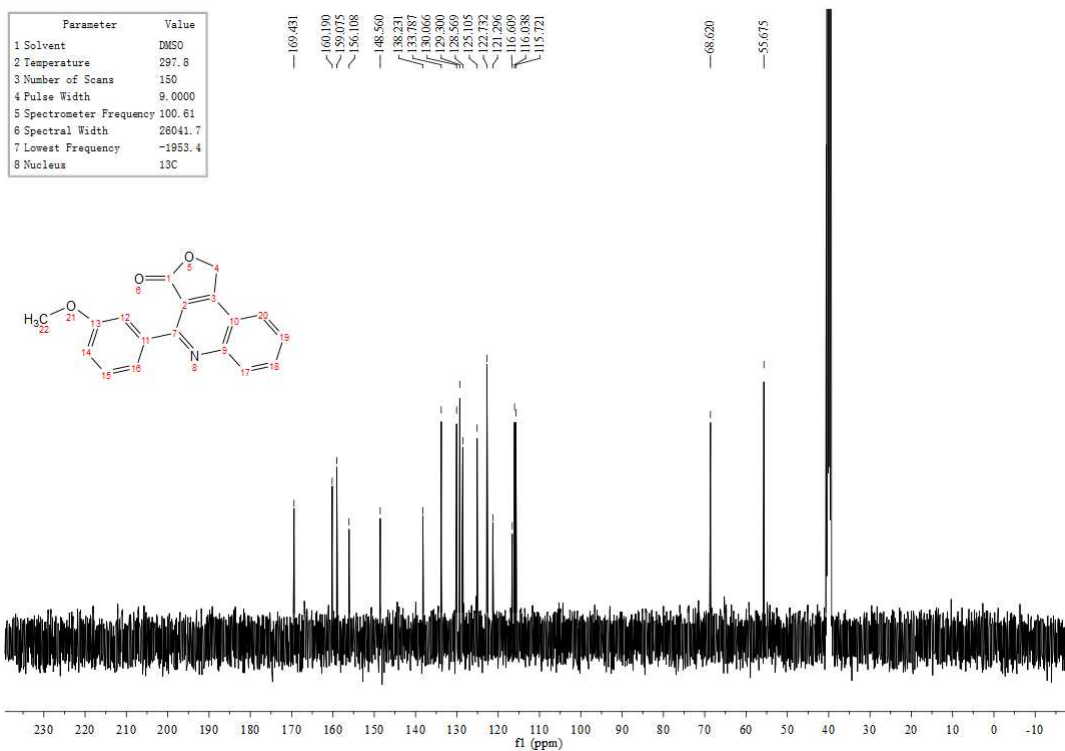
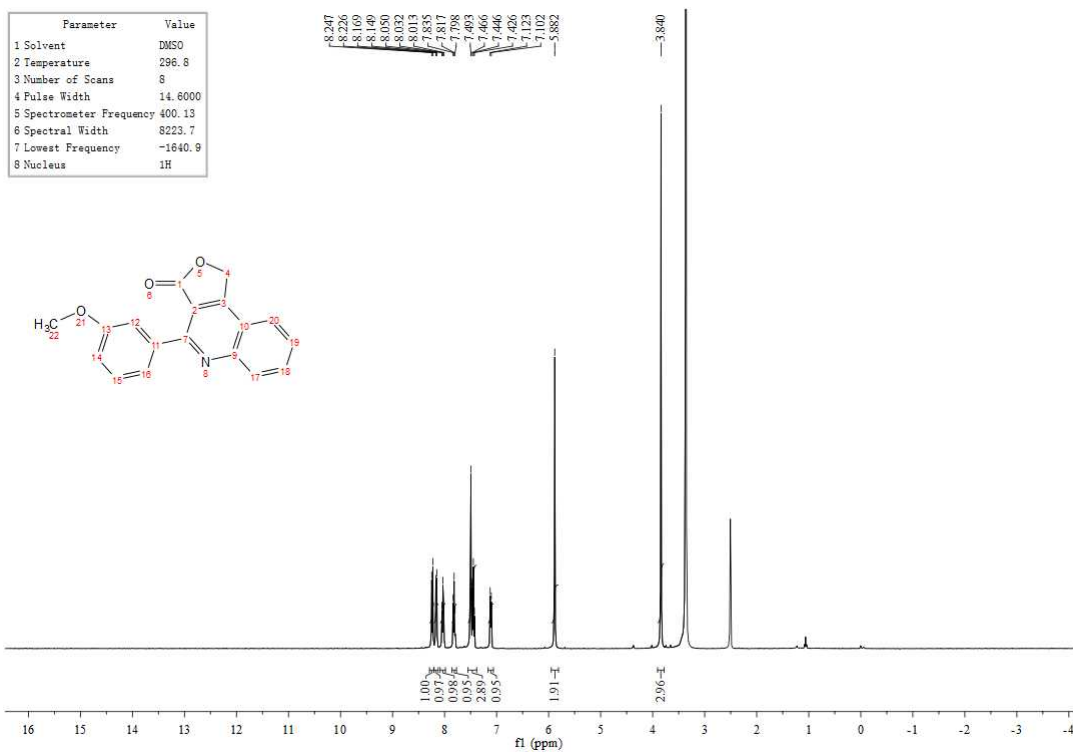
Parameter	Value
1 Solvent	DMSO
2 Temperature	297.6
3 Number of Scans	80
4 Pulse Width	9.0000
5 Spectrometer Frequency	100.61
6 Spectral Width	28041.7
7 Lowest Frequency	-1953.4
8 Nucleus	¹³ C



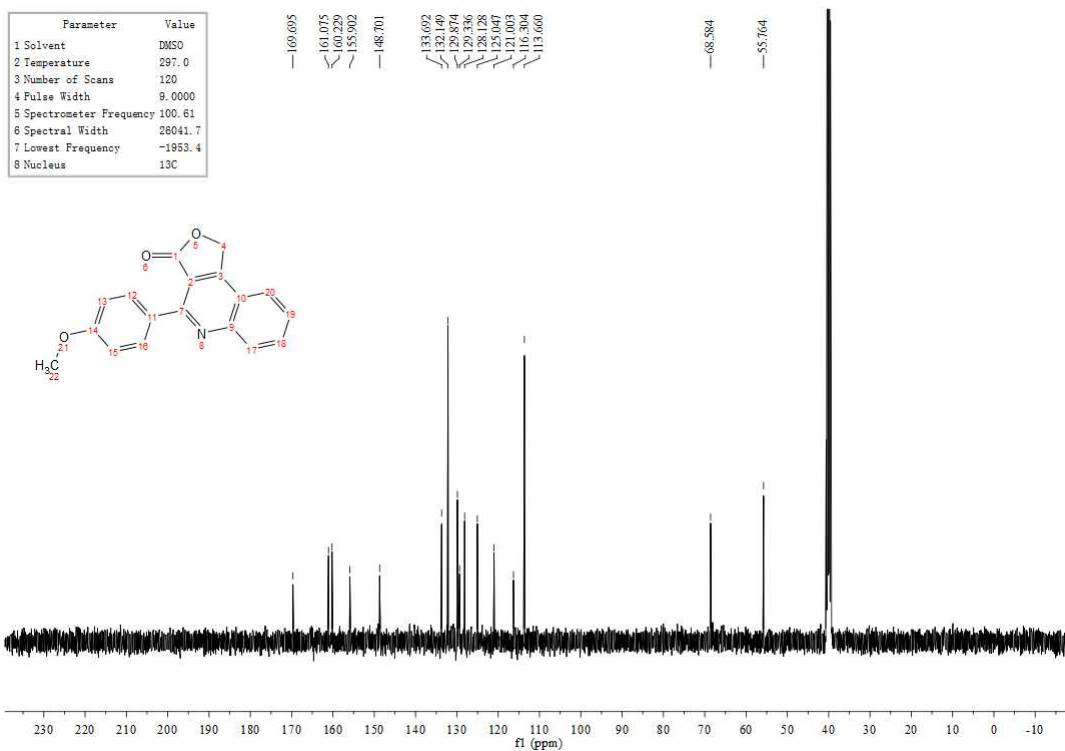
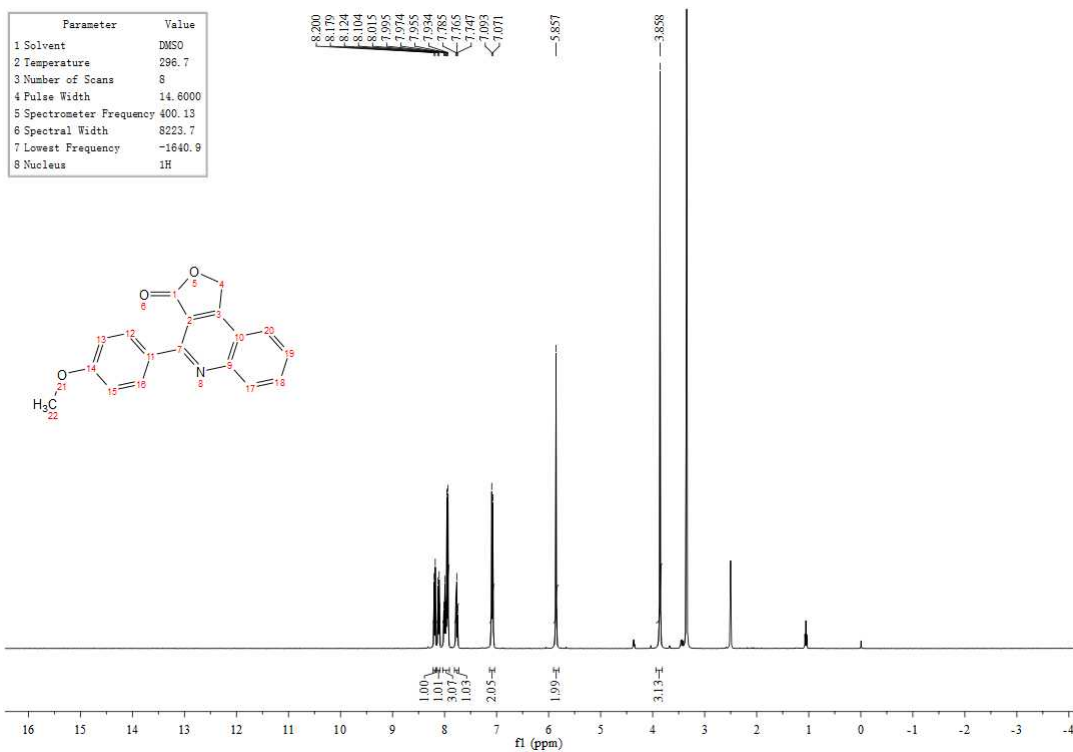
¹H NMR and ¹³C NMR spectra of 3d



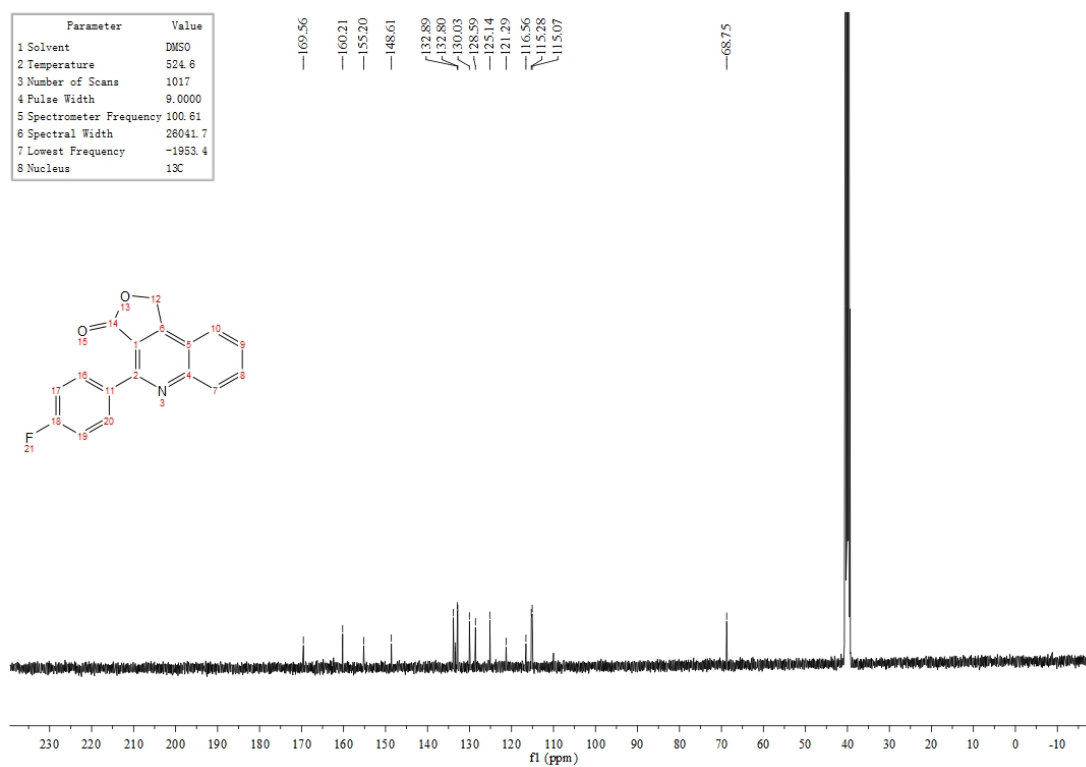
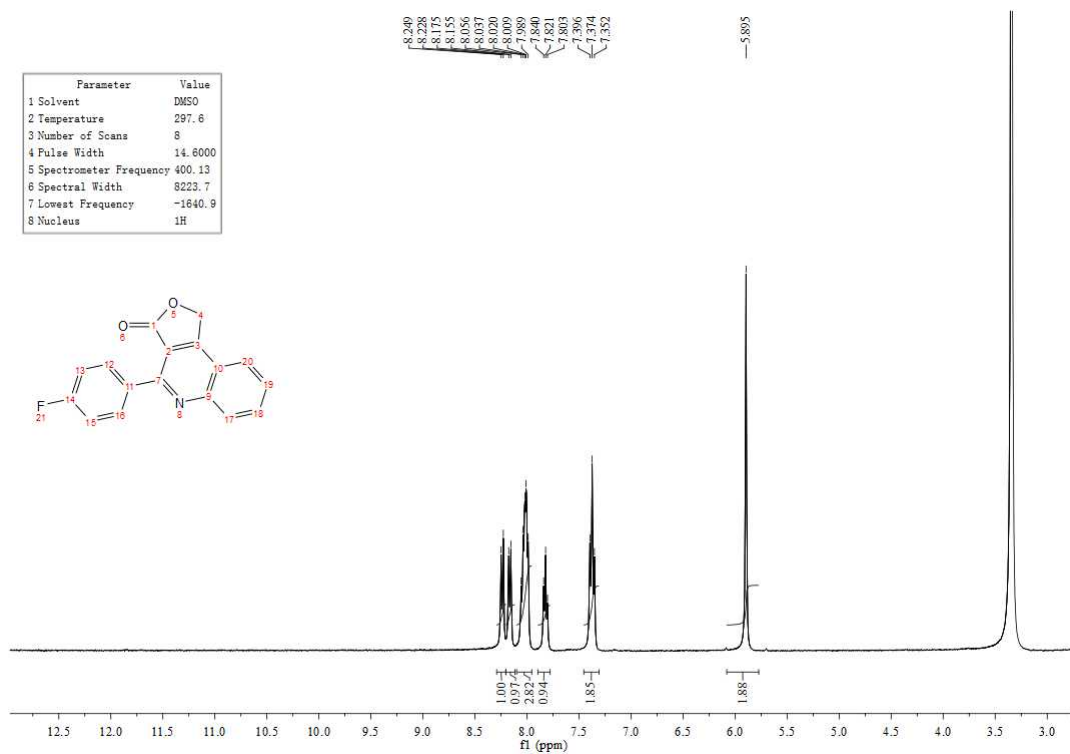
¹H NMR and ¹³C NMR spectra of 3e



¹H NMR and ¹³C NMR spectra of 3f



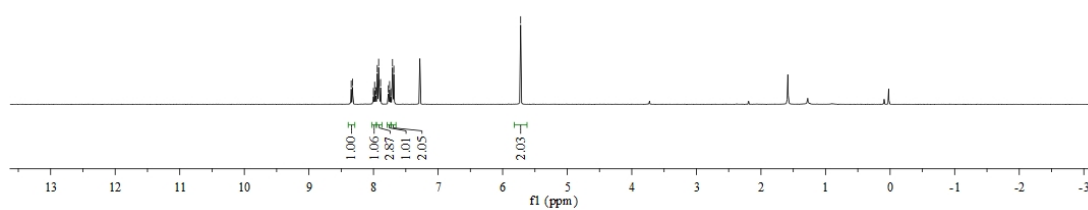
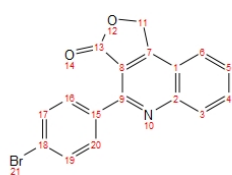
¹H NMR and ¹³C NMR spectra of 3g



¹H NMR and ¹³C NMR spectra of 3h

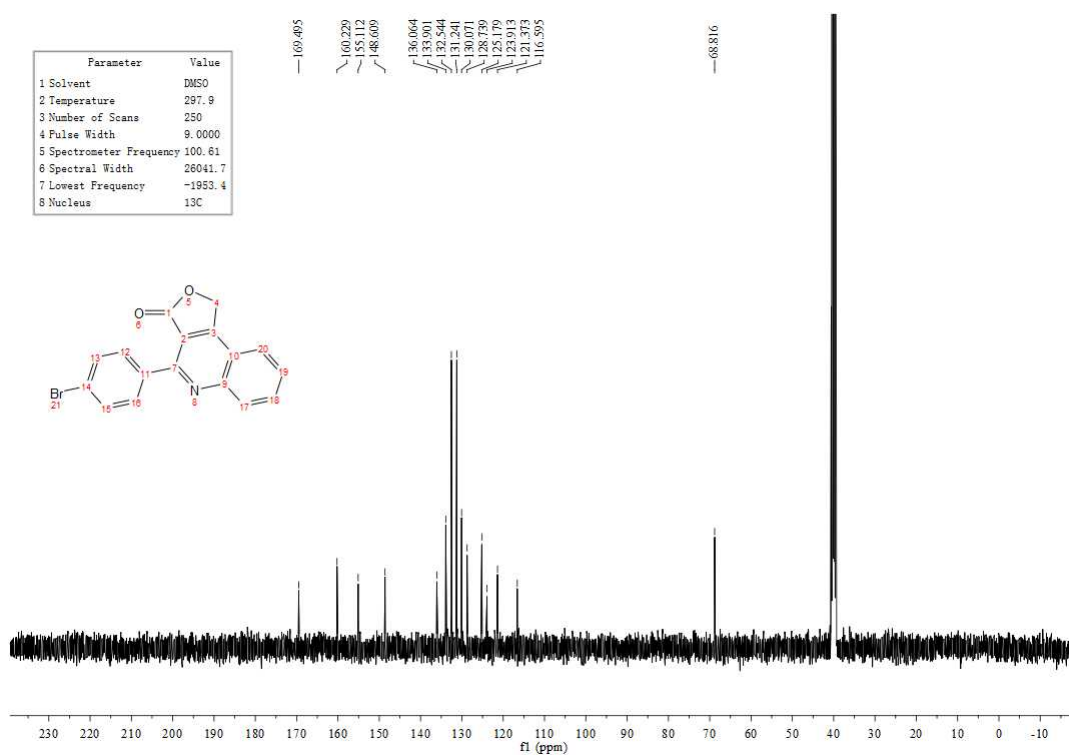
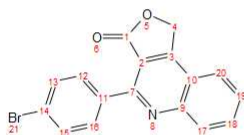
Parameter	Value
1 Solvent	CDC13
2 Temperature	308.5
3 Number of Scans	8
4 Pulse Width	13.0000
5 Spectrometer Frequency	400.15
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.8
8 Nucleus	¹ H

¹H NMR peak list (ppm):
 8.335, 8.332, 7.98, 7.94, 7.91, 7.77, 7.73, 7.68, -5.72



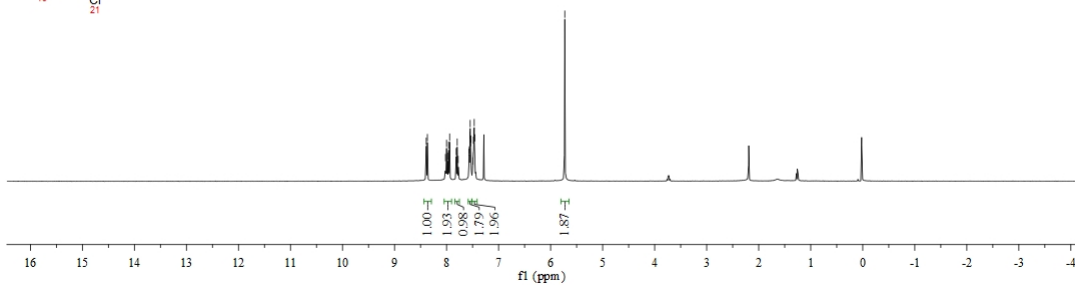
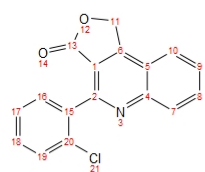
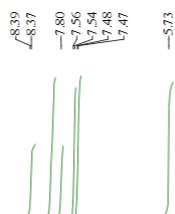
Parameter	Value
1 Solvent	DMSO
2 Temperature	297.9
3 Number of Scans	250
4 Pulse Width	9.0000
5 Spectrometer Frequency	100.61
6 Spectral Width	26041.7
7 Lowest Frequency	-1953.4
8 Nucleus	¹³ C

¹³C NMR peak list (ppm):
 169.895, 160.229, 155.112, 148.609, 136.064, 135.091, 132.844, 131.241, 130.071, 128.739, 125.179, 123.913, 121.313, 116.395, -68.816

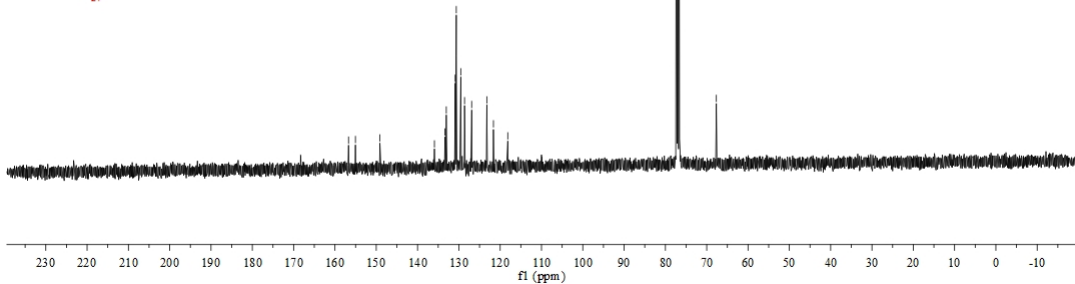
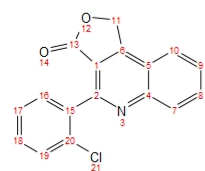
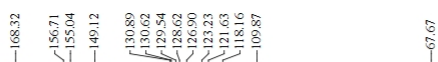


¹H NMR and ¹³C NMR spectra of 3i

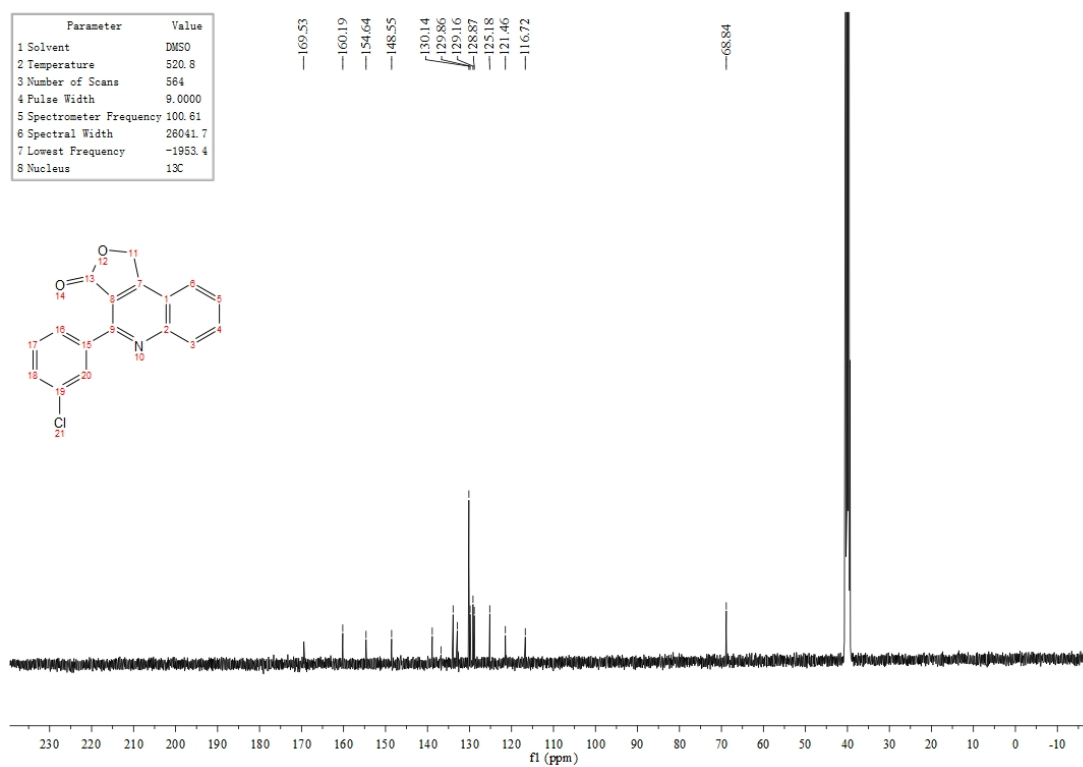
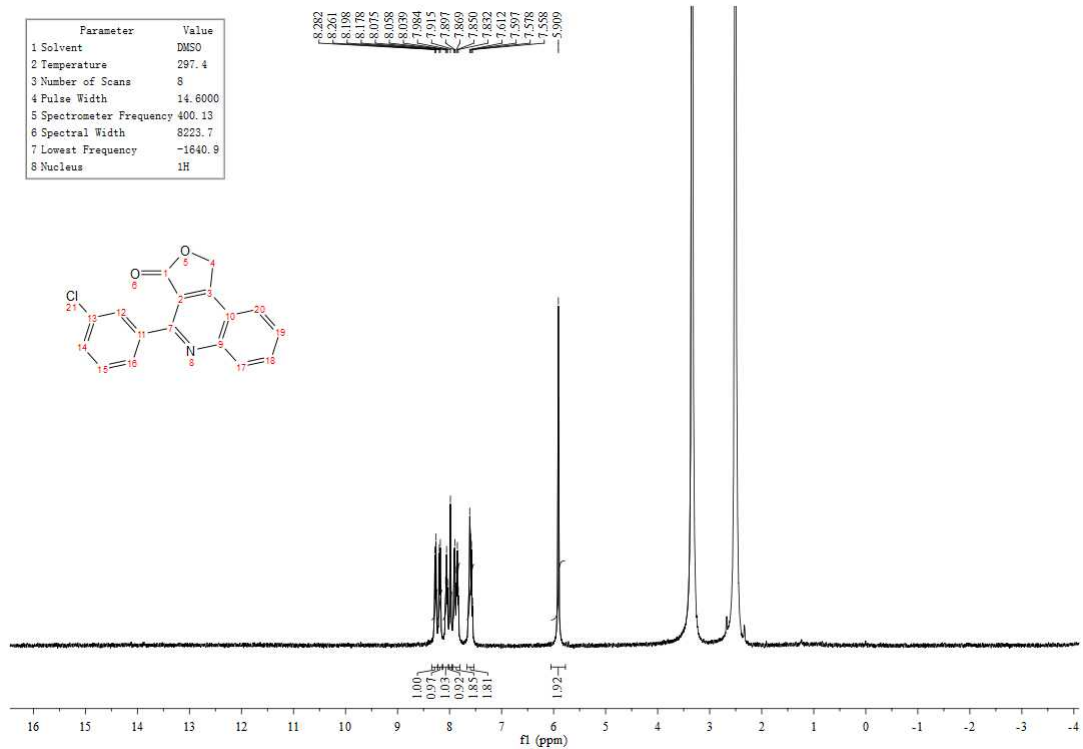
Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	296.7
3 Number of Scans	8
4 Pulse Width	14.6000
5 Spectrometer Frequency	400.13
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.9
8 Nucleus	¹ H



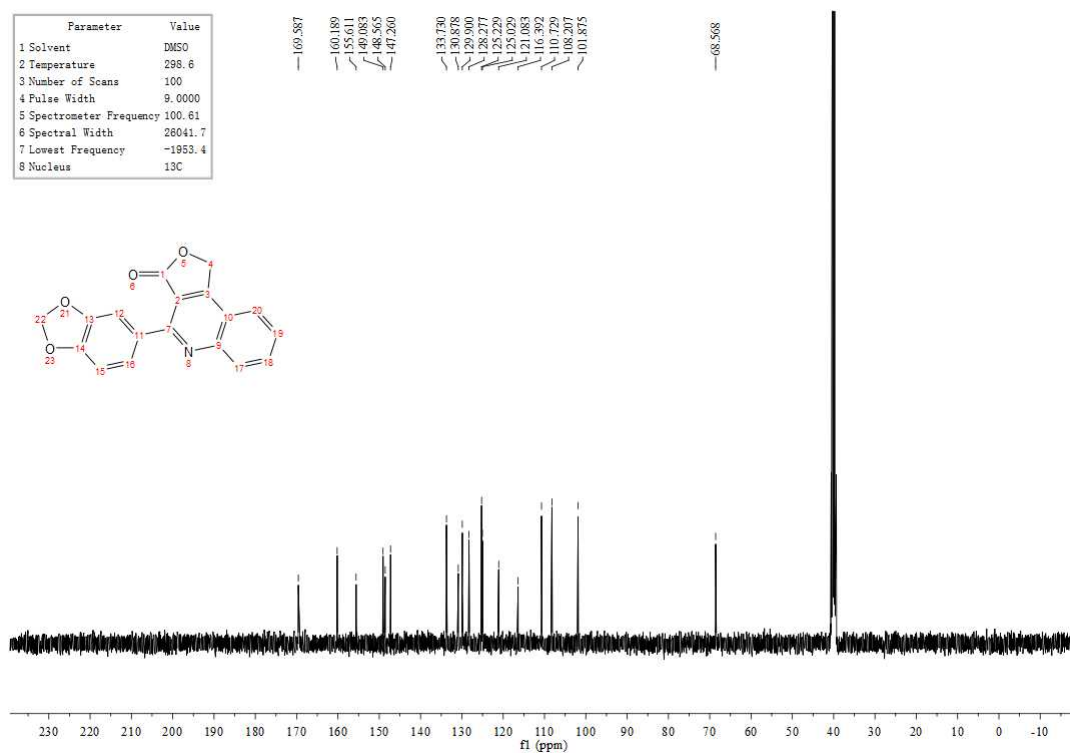
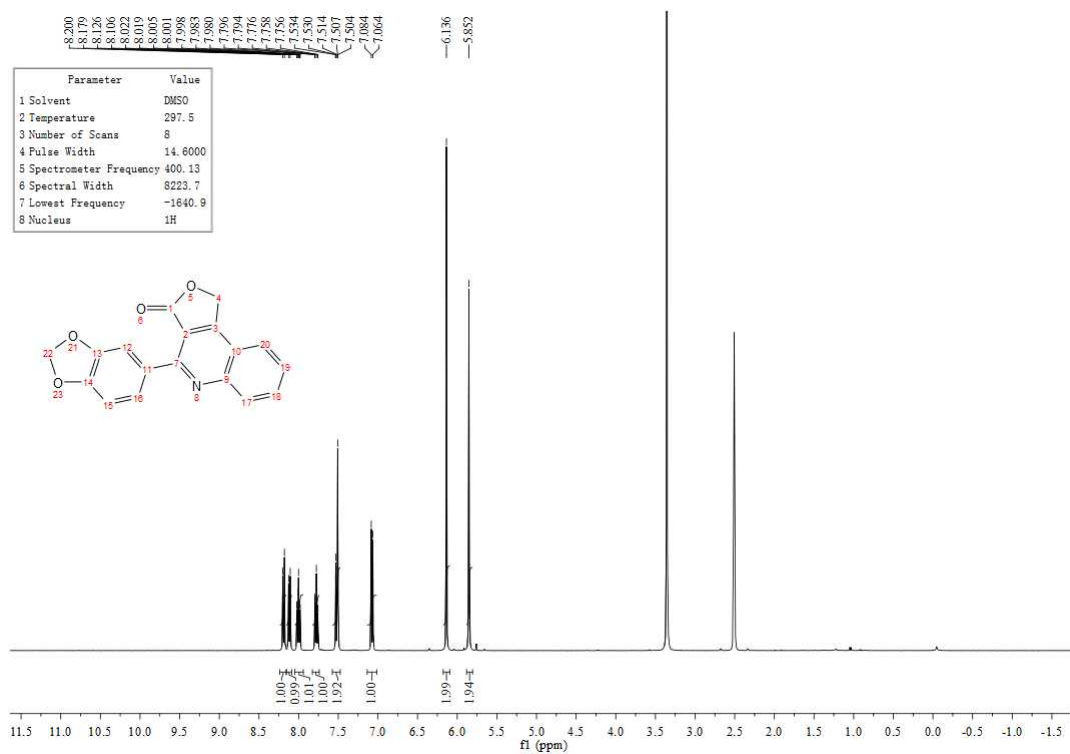
Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	299.8
3 Number of Scans	700
4 Pulse Width	9.0000
5 Spectrometer Frequency	100.61
6 Spectral Width	26041.7
7 Lowest Frequency	-1953.4
8 Nucleus	¹³ C



¹H NMR and ¹³C NMR spectra of 3j

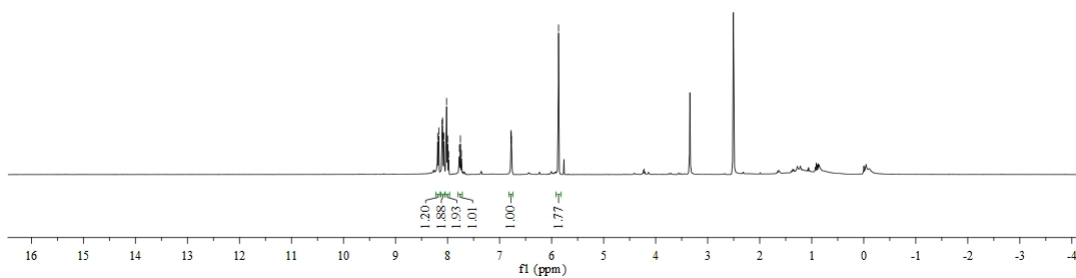
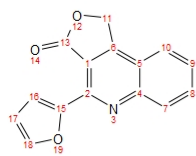
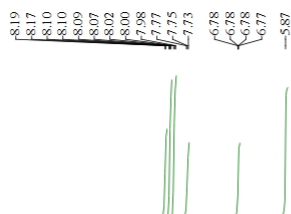


¹H NMR and ¹³C NMR spectra of 3k

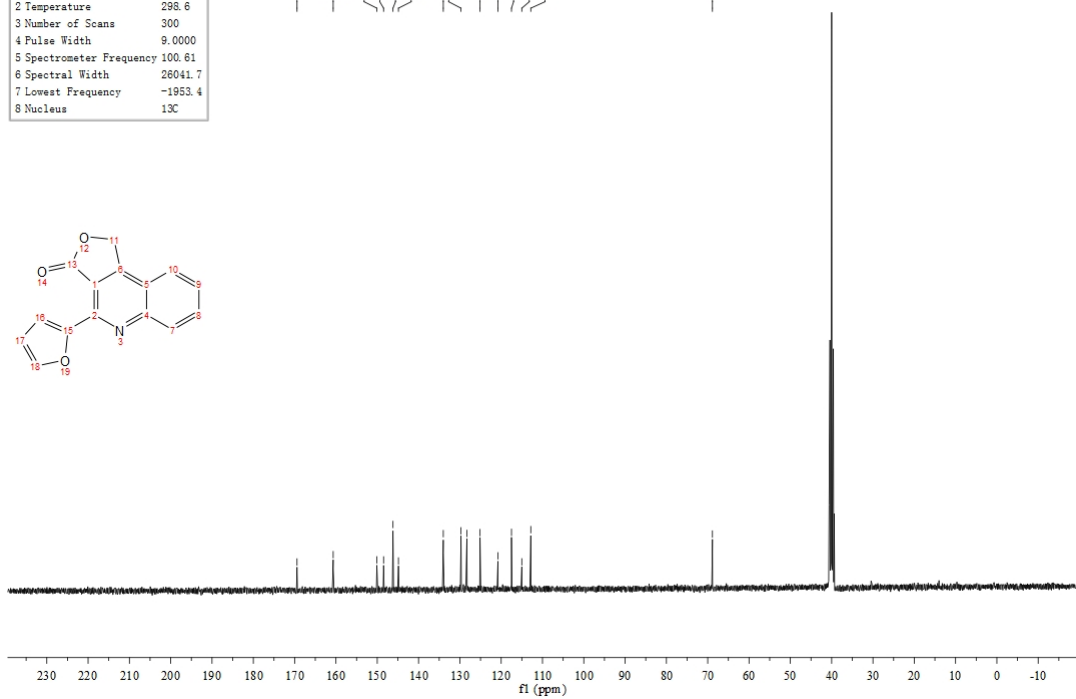
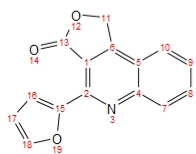
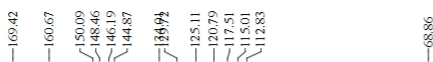


¹H NMR and ¹³C NMR spectra of 3l

Parameter	Value
1 Solvent	DMSO
2 Temperature	297.3
3 Number of Scans	8
4 Pulse Width	14.6000
5 Spectrometer Frequency	400.13
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.9
8 Nucleus	¹ H



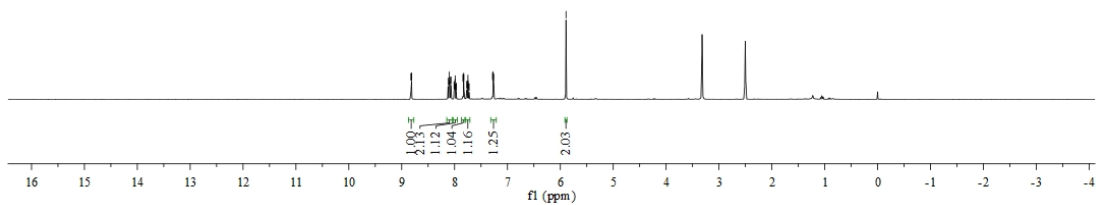
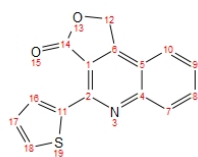
Parameter	Value
1 Solvent	DMSO
2 Temperature	298.6
3 Number of Scans	300
4 Pulse Width	9.0000
5 Spectrometer Frequency	100.61
6 Spectral Width	26041.7
7 Lowest Frequency	-1953.4
8 Nucleus	¹³ C



¹H NMR and ¹³C NMR spectra of 3m

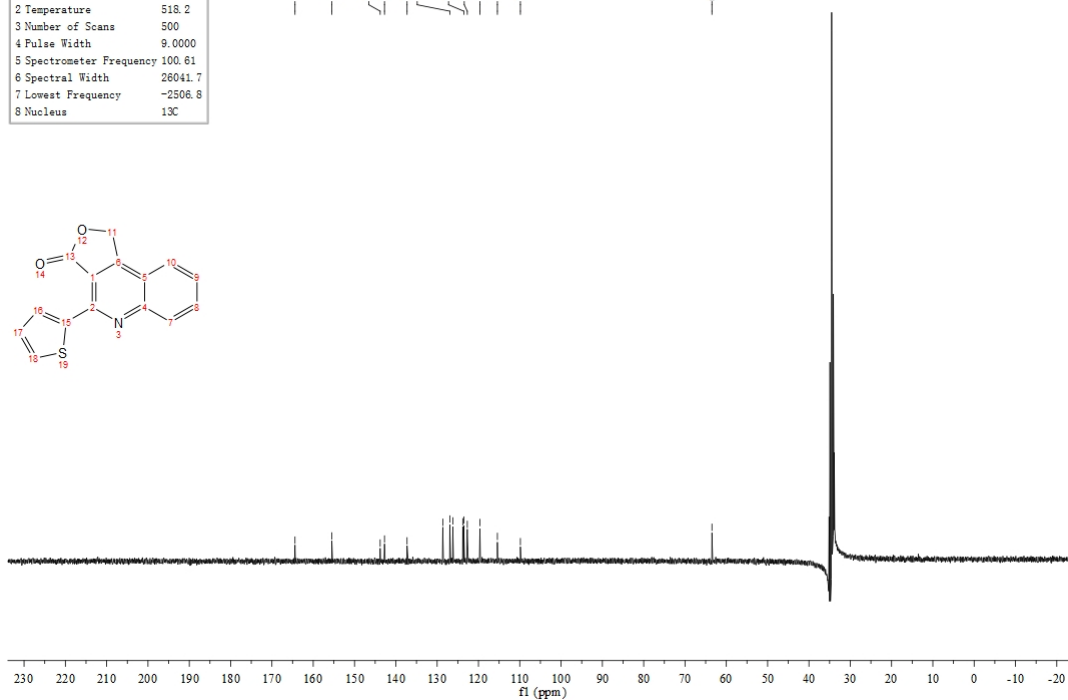
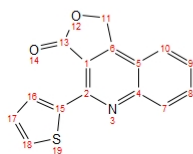
Parameter	Value
1 Solvent	DMSO
2 Temperature	299.7
3 Number of Scans	16
4 Pulse Width	14.0000
5 Spectrometer Frequency	400.13
6 Spectral Width	8223.7
7 Lowest Frequency	-1644.1
8 Nucleus	¹ H

¹H NMR peak list (ppm):
 8.82, 8.82, 8.81, 8.81, 7.83, 7.74, 7.27, 7.27, 7.26, -5.89



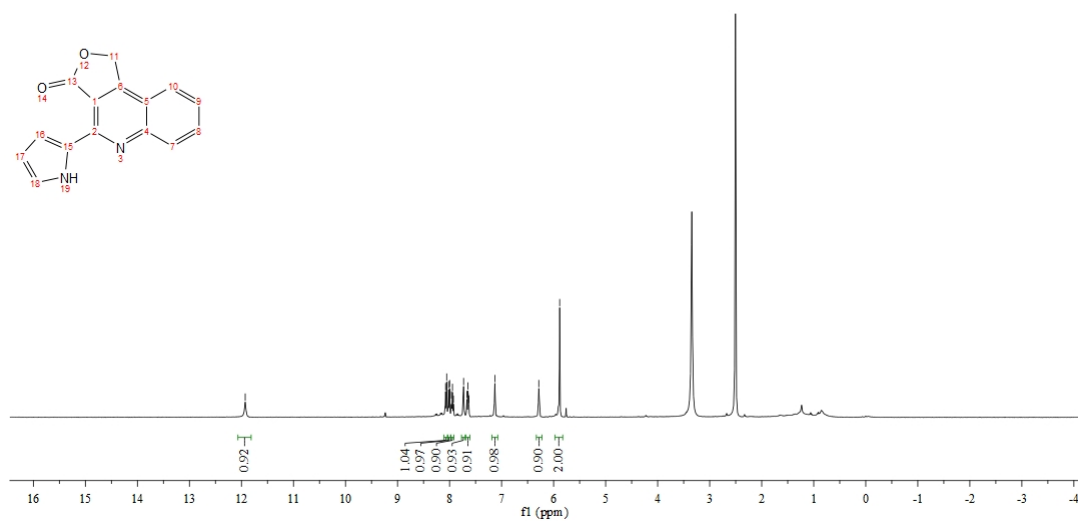
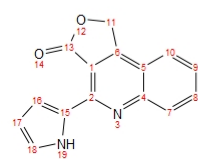
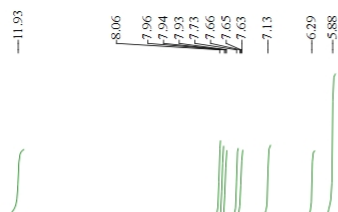
Parameter	Value
1 Solvent	DMSO
2 Temperature	518.2
3 Number of Scans	500
4 Pulse Width	9.0000
5 Spectrometer Frequency	100.61
6 Spectral Width	26041.7
7 Lowest Frequency	-2506.8
8 Nucleus	¹³ C

¹³C NMR peak list (ppm):
 164.41, 155.49, 143.79, 142.75, 137.28, 126.53, 123.55, 122.70, 119.64, 115.42, 109.84, 63.48

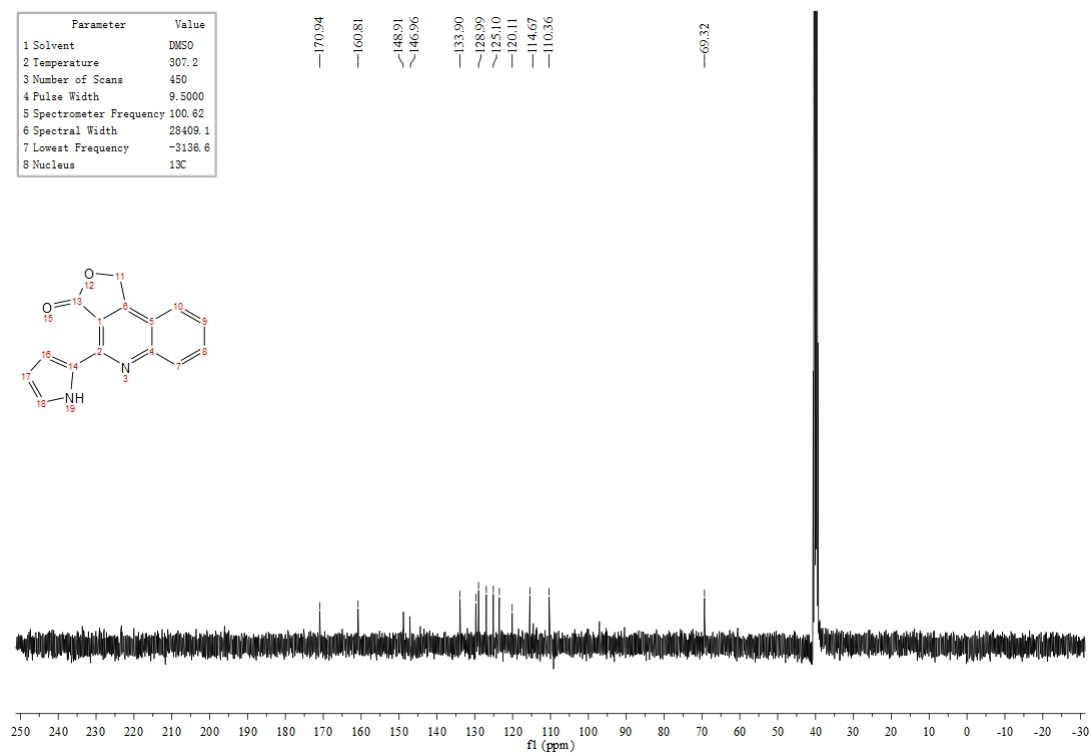
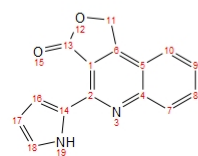
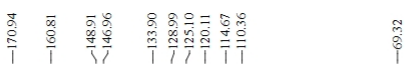


¹H NMR and ¹³C NMR spectra of 3n

Parameter	Value
1 Solvent	DMSO
2 Temperature	307.1
3 Number of Scans	16
4 Pulse Width	13.0000
5 Spectrometer Frequency	400.15
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.8
8 Nucleus	1H

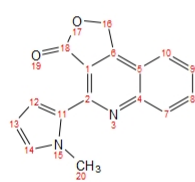
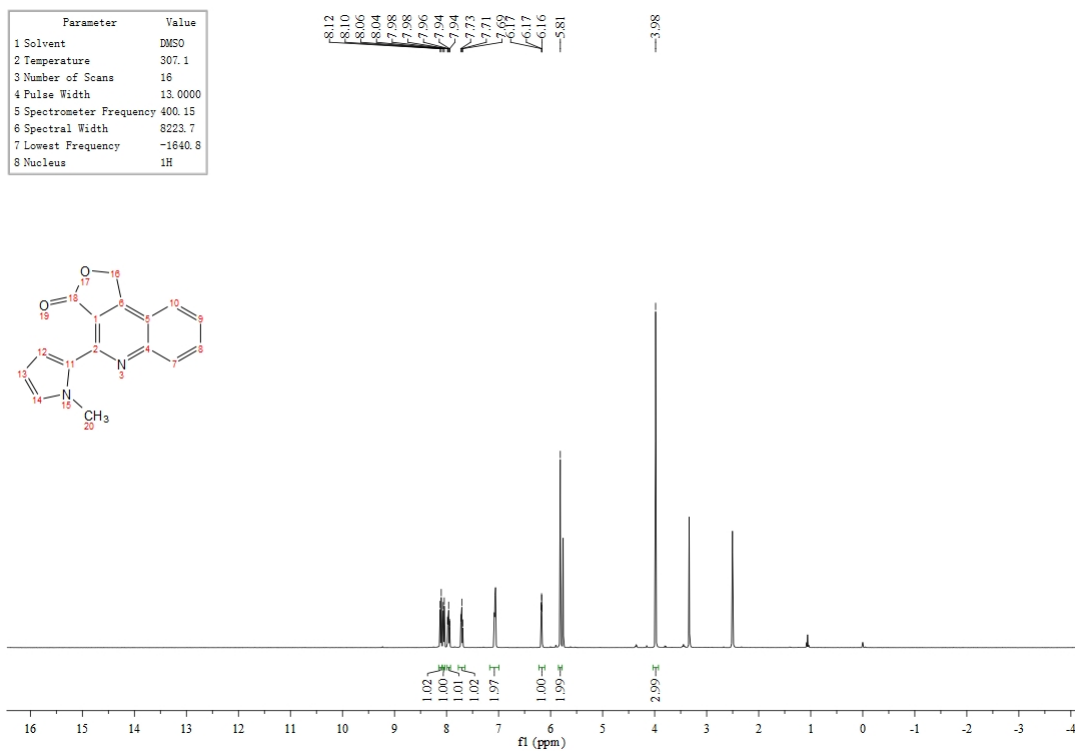


Parameter	Value
1 Solvent	DMSO
2 Temperature	307.2
3 Number of Scans	450
4 Pulse Width	9.5000
5 Spectrometer Frequency	100.62
6 Spectral Width	23409.1
7 Lowest Frequency	-3136.6
8 Nucleus	13C

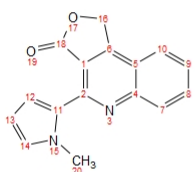
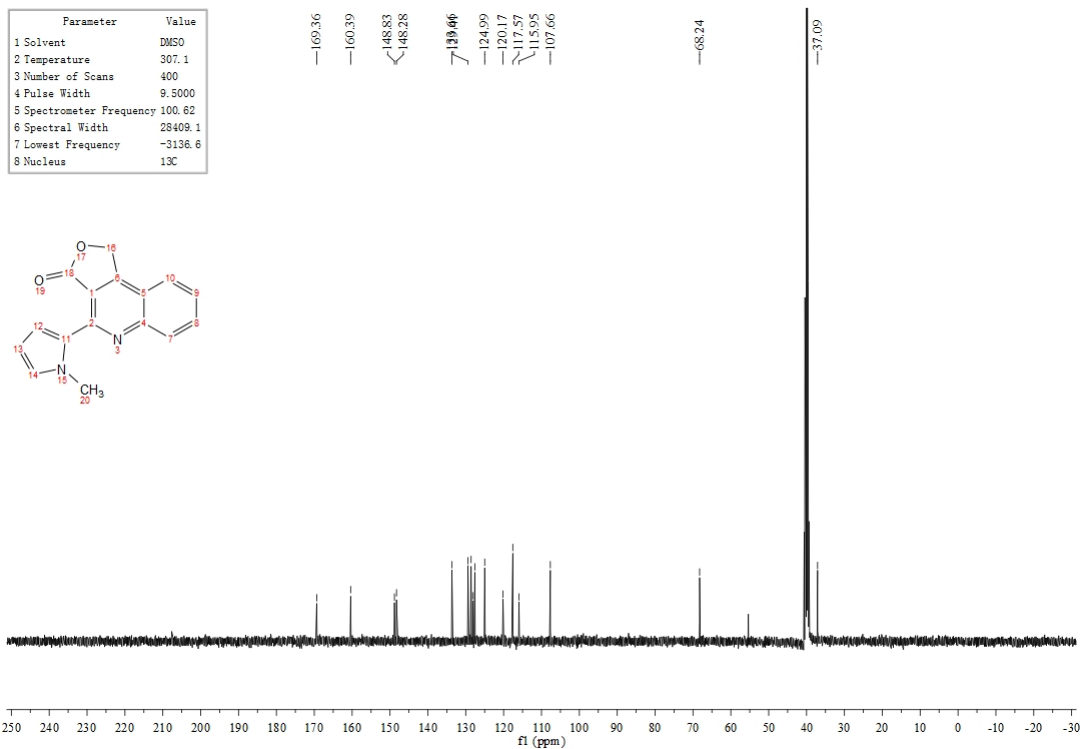


¹H NMR and ¹³C NMR spectra of 3o

Parameter	Value
1 Solvent	DMSO
2 Temperature	307.1
3 Number of Scans	16
4 Pulse Width	13.0000
5 Spectrometer Frequency	400.15
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.8
8 Nucleus	¹ H

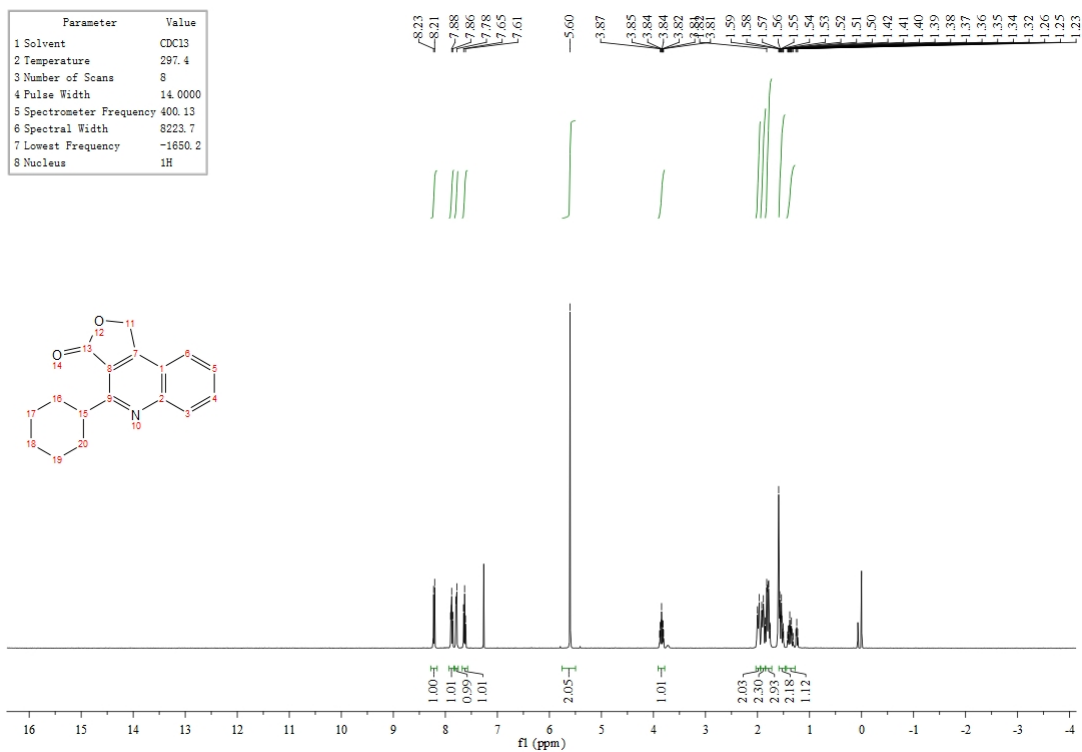


Parameter	Value
1 Solvent	DMSO
2 Temperature	307.1
3 Number of Scans	400
4 Pulse Width	9.5000
5 Spectrometer Frequency	100.62
6 Spectral Width	28409.1
7 Lowest Frequency	-3136.6
8 Nucleus	¹³ C

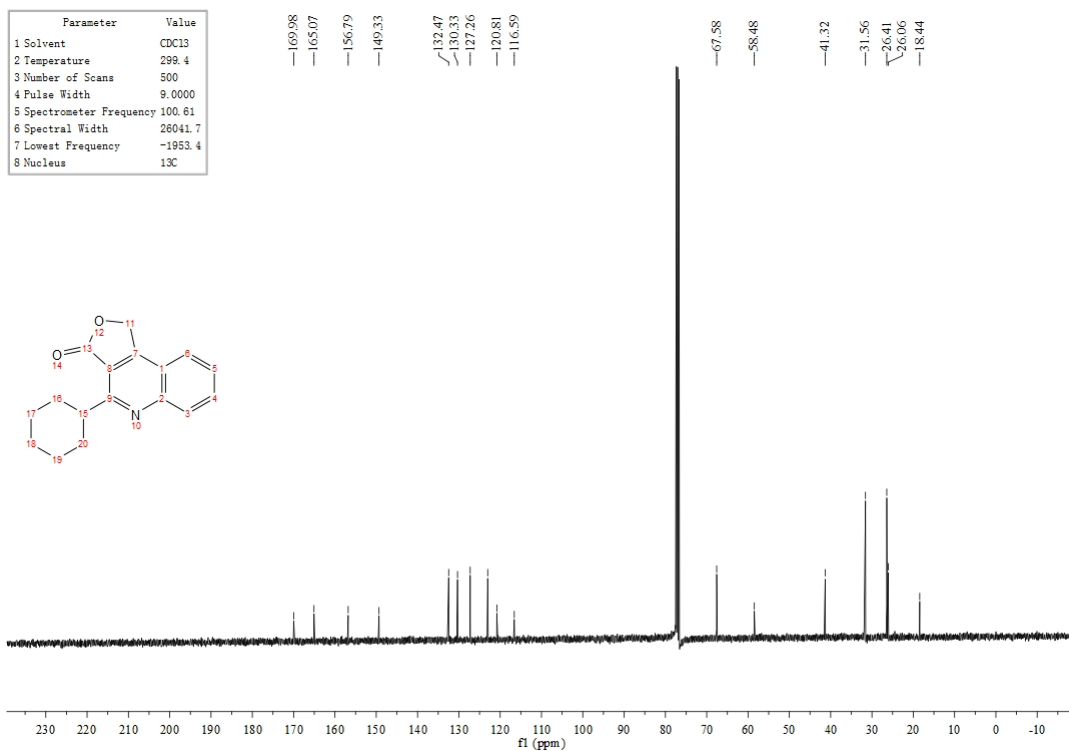


¹H NMR and ¹³C NMR spectra of 3p

Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	297.4
3 Number of Scans	8
4 Pulse Width	14.0000
5 Spectrometer Frequency	400.13
6 Spectral Width	8223.7
7 Lowest Frequency	-1650.2
8 Nucleus	¹ H

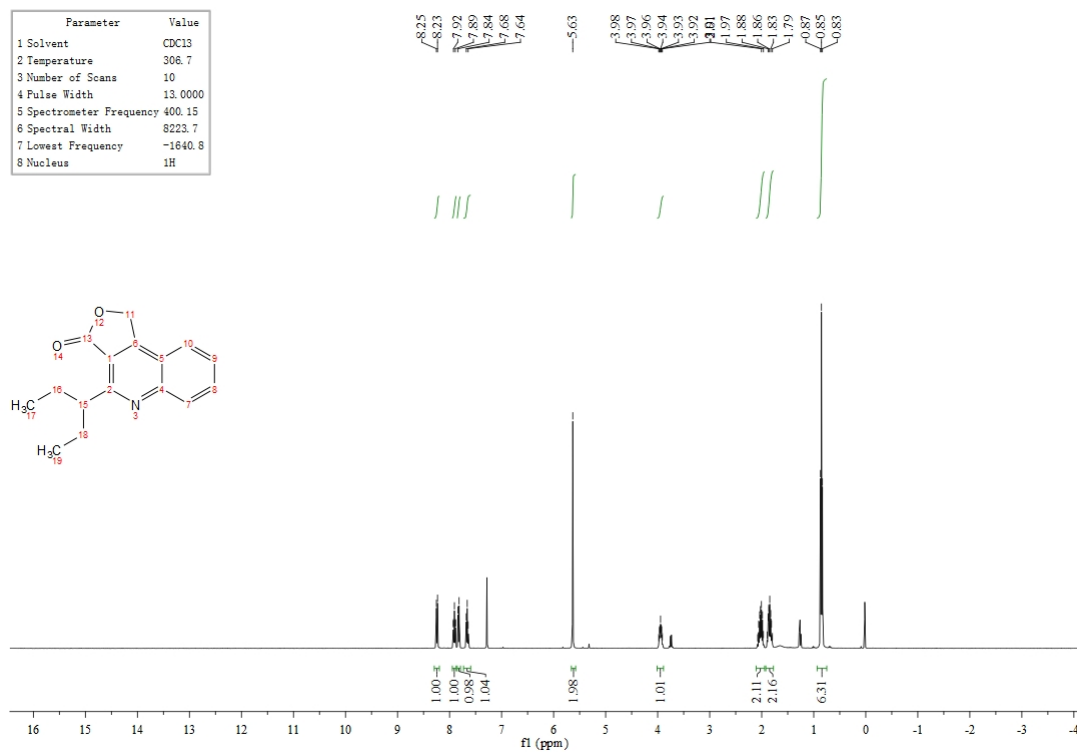


Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	299.4
3 Number of Scans	500
4 Pulse Width	9.0000
5 Spectrometer Frequency	100.61
6 Spectral Width	26041.7
7 Lowest Frequency	-1953.4
8 Nucleus	¹³ C

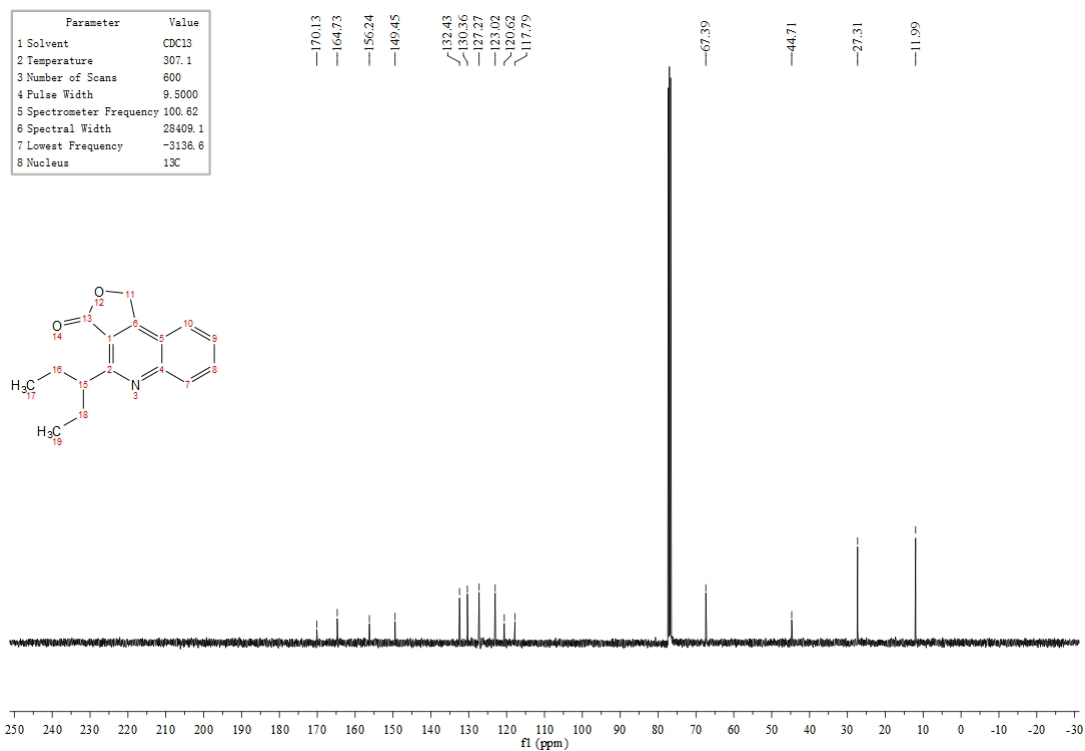


¹H NMR and ¹³C NMR spectra of 3q

Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	308.7
3 Number of Scans	10
4 Pulse Width	13.0000
5 Spectrometer Frequency	400.15
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.8
8 Nucleus	¹ H



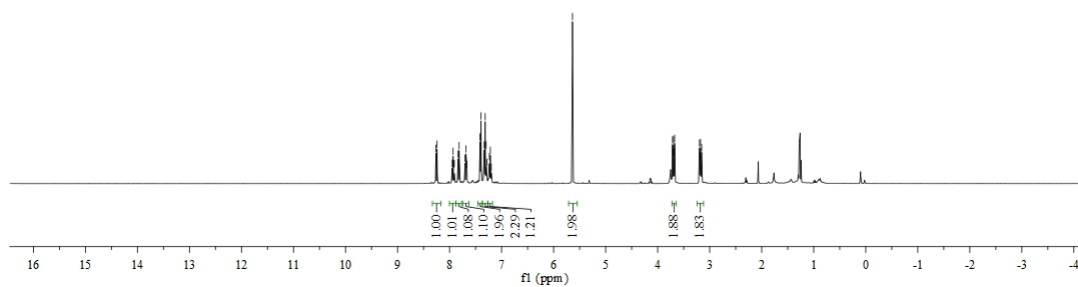
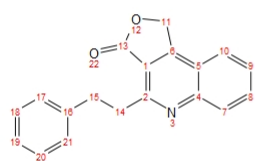
Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	307.1
3 Number of Scans	600
4 Pulse Width	9.5000
5 Spectrometer Frequency	100.62
6 Spectral Width	23409.1
7 Lowest Frequency	-3136.6
8 Nucleus	¹³ C



¹H NMR and ¹³C NMR spectra of 3r'

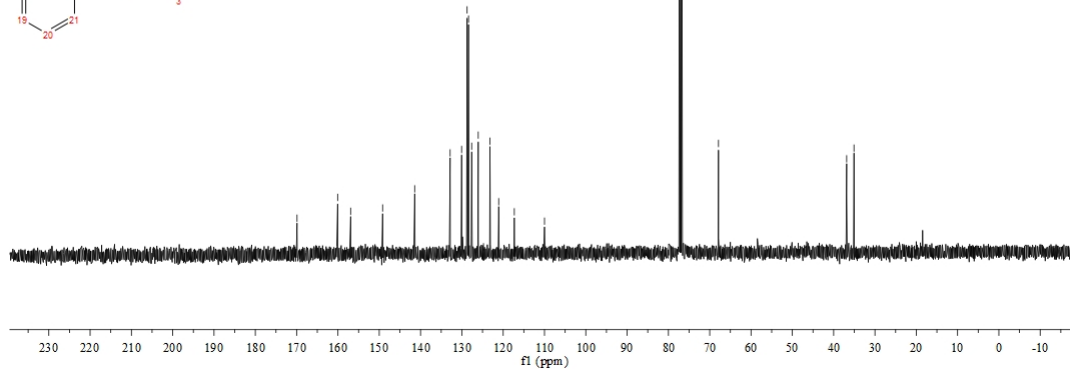
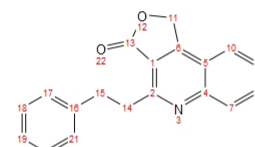
Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	294.3
3 Number of Scans	8
4 Pulse Width	14.6000
5 Spectrometer Frequency	400.13
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.9
8 Nucleus	¹ H

8.26
8.24
7.95
7.93
7.91
7.84
7.82
7.70
7.68
7.67
7.41
7.40
7.33
7.32
7.30
7.28
7.23
7.22
7.20
-5.64
3.71
3.69
3.67
3.20
3.18
3.17
3.15



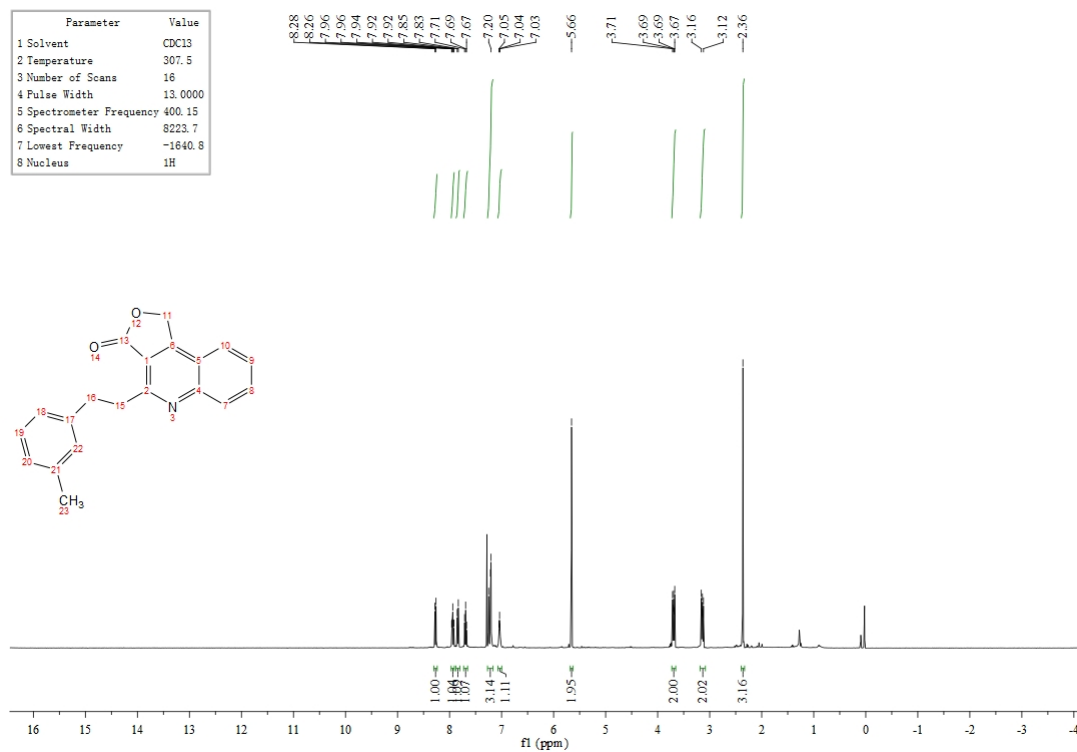
Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	295.6
3 Number of Scans	75
4 Pulse Width	9.0000
5 Spectrometer Frequency	100.61
6 Spectral Width	28041.7
7 Lowest Frequency	-1953.4
8 Nucleus	¹³ C

169.90
160.07
156.93
149.19
138.74
138.74
126.03
124.76
123.19
121.08
117.30
110.00
67.88
36.85
35.08

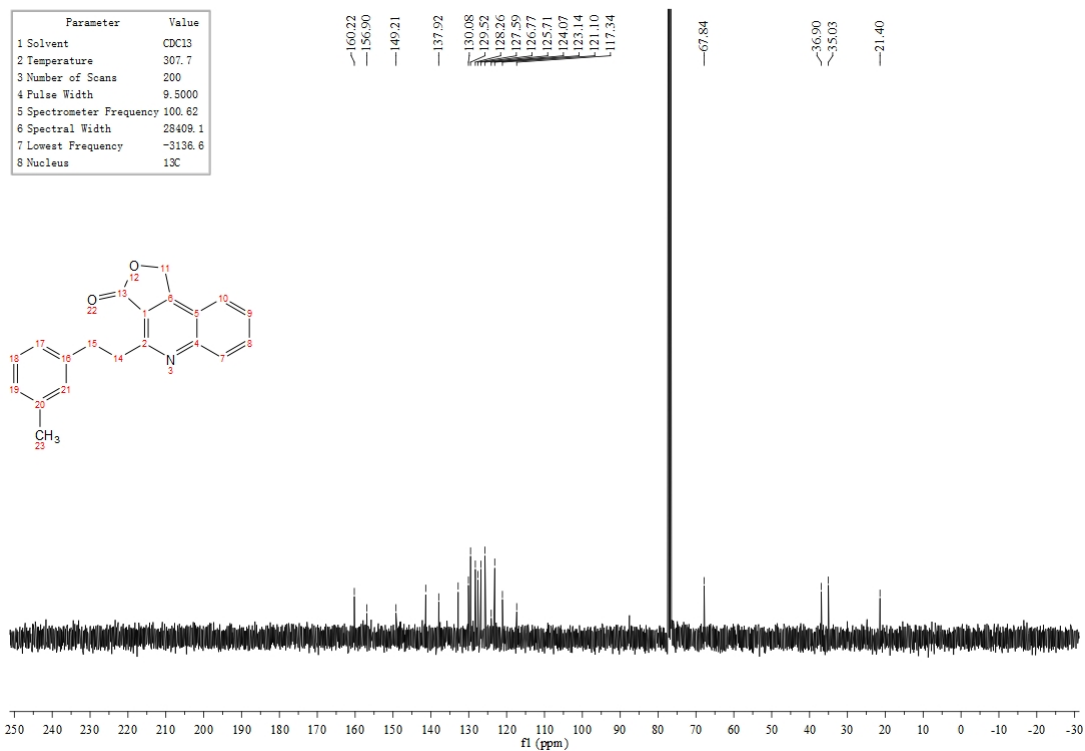


¹H NMR and ¹³C NMR spectra of 3s'

Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	307.5
3 Number of Scans	16
4 Pulse Width	13.0000
5 Spectrometer Frequency	400.15
6 Spectral Width	8223.7
7 Lowest Frequency	-1640.8
8 Nucleus	¹ H



Parameter	Value
1 Solvent	CDCl ₃
2 Temperature	307.7
3 Number of Scans	200
4 Pulse Width	9.5000
5 Spectrometer Frequency	100.62
6 Spectral Width	23409.1
7 Lowest Frequency	-3136.6
8 Nucleus	¹³ C



¹H NMR and ¹³C NMR spectra of 3t'

