Supporting Information

Palladium-Catalyzed Desulfonylative Aminocarbonylation of Benzylsulfonyl Chlorides with *o*-Aminobenzaldehydes/*o*-Aminoacetophenones for the Synthesis of Quinoin-2(1*H*)-ones

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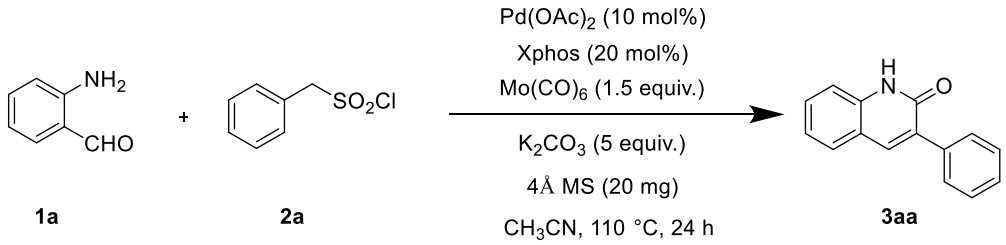
Contents

1. General Information

Unless otherwise noted, all reactions were carried out under a nitrogen atmosphere. All reagents were from commercial sources, all solvents are common solvents and used as received without further purification. Column chromatography was performed on silica gel (200-300 meshes) using petroleum ether (b.p. 60-90 °C) and ethyl acetate as the eluents. ^{1}H and ^{13}C NMR spectra were taken on 400MHz instruments and spectral data were reported in ppm relative to tetramethyl silane (TMS) as the internal standard and $CDCl_3$ or DMSO-D_6 as solvent. All coupling constants (J) are reported in Hz with the following abbreviations: s = singlet, d = doublet, dd = double doublet, ddd= double doublet of doublets, t = triplet, dt = double triplet, q = quartet, m = multiplet, br = broad. Gas (GC) analyses were performed on a Shimadzu GC-2014C chromatograph equipped with FID detector. Mass spectra (MS) were measured on spectrometer by direct inlet at 70 eV.

2. General Procedure



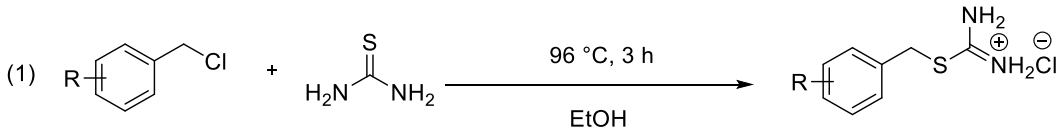
1a **2a** **3aa**

1a (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 2/1) on silica gel to afford the products.

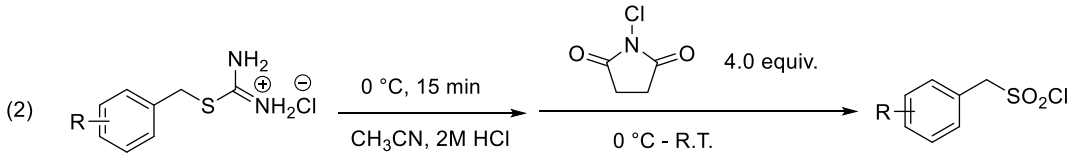
3. Synthesis of Starting Materials

3.1 Synthesis of Benzylsulfonyl Chlorides

Benzylsulfonyl Chlorides were prepared according to literature.[1]



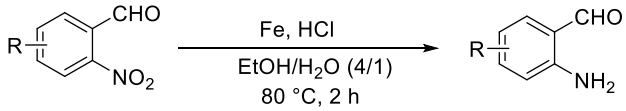
Step 1: Add magnetic stirrer to an oven driven clean round bottom flask. Then the corresponding benzyl chloride (5 mmol) and thiourea (5 mmol, 331 mg). 5 mL of absolute ethanol was added and refluxed at 96 ºC (oil bath). After 3 h the reaction was taken out and solvent was evaporated under reduced pressure to obtained **white solid** thiouric salt.



Step 2: The obtained solid salt was suspended in 7 mL of CH_3CN and 1.5 mL 2 M HCl was added to it. The mixture was stirred at 0 ºC (ice bath) for 15 min. N-chlorosuccinimide (NCS) (20 mmol, 2.671 g) was added in portion to the suspension in order to obtain a clear solution (Pay attention to the temperature OF THE reaction, if the temperature is higher than 25 ºC (oil bath), put it into an ice bath to cool). The solution was stirred for another 30 min at room temperature. The solution was evaporated under reduced pressure to remove the CH_3CN. The remaining aqueous portion was extracted with ethyl acetate (10 mL × 3). The organic portion was dried over anhydrous Na_2SO_4 and the crude mixture was evaporated and purified by column chromatography using silica gel and PE/EA as the eluent.

3.2 Synthesis of *o*-Aminobenzaldehyde Derivatives

o-Aminobenzaldehyde derivatives were prepared according to literature.[2]

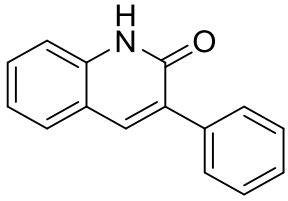


Add magnetic stirrer to an oven driven clean round bottom flask. Then, the corresponding 2-nitrobenzaldehyde derivatives (1 mmol), iron powder (560 mg, 10 mmol), and conc. HCl (2 drops), were added to a solvent mixture of EtOH and H_2O (4/1, 5 mL). The reaction mixture was refluxed at 80 ºC (oil bath) for 2 h and then cooled down to room temperature. Subsequently, the organic layer was dried over anhydrous Na_2SO_4, and then concentrated under the reduced pressure. The residue was purified by column chromatography (PE/EA =10/1 to 5/1) on silica gel to afford the products.

3.3 Detection of SO_2

After the reaction was finished, the reaction mixture was filtrated, and then $AgNO_3$ (0.5 mmol) was added to the clear solution. Then H_2O_2 (1 mmol) was added into the clear mixture, white precipitate was observed as $AgSO_4$, which indicate that the SO_2 was formed during the reaction.

4. Characterization of Products



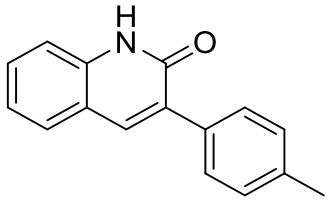
3-phenylquinolin-2(1*H*)-one (3aa)[3]

General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 2/1) on silica gel to afford the products titled product **3aa** as a **white solid** (38.1 mg, 86%).

^{1}H NMR (400 MHz, DMSO-d_6) δ 11.94 (s, 1H), 8.09 (s, 1H), 7.77 – 7.71 (m, 3H), 7.52 – 7.48 (m, 1H), 7.45 – 7.41 (m, 2H), 7.39 – 7.33 (m, 2H), 7.21 – 7.17 (m, 1H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 161.0, 138.4, 137.6, 136.3, 131.5, 130.2, 128.7, 128.1, 127.9, 127.8, 121.9, 119.6, 114.7.

M.p. 189.9 – 192.5 °C



3-(*p*-tolyl)quinolin-2(1*H*)-one (3ab)[3]

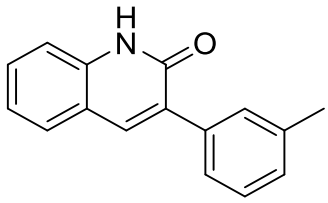
General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2b** (0.5 mmol, 102.3 mg), K_2CO_3 (1 mmol,

138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 2/1) on silica gel to afford the products titled product **3ab** as a **white solid** (28.7 mg, 61%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.90 (s, 1H), 8.05 (s, 1H), 7.71 (d, J = 7.8 Hz, 1H), 7.67 (d, J = 7.9 Hz, 2H), 7.48 (t, J = 7.7 Hz, 1H), 7.33 (d, J = 8.2 Hz, 1H), 7.23 (d, J = 7.9 Hz, 2H), 7.18 (t, J = 7.5 Hz, 1H), 2.34 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 161.1, 138.2, 137.2, 137.0, 133.4, 131.4, 130.0, 128.5, 128.0, 121.8, 119.6, 114.63, 20.8.

M.p. 216.2 – 218.9 °C



3-(*m*-tolyl)quinolin-2(1*H*)-one (3ac)[3]

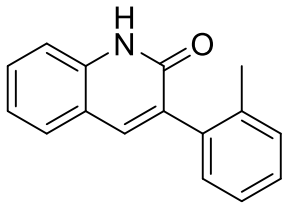
General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2c** (0.5 mmol, 102.3 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3ac** as a **white solid** (39.1 mg, 83%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.91 (s, 1H), 8.07 (s, 1H), 7.72 (d, J = 7.8 Hz, 1H), 7.60 – 7.52 (m, 2H), 7.49 (t, J = 7.7 Hz, 1H), 7.32 (dd, J = 14.7, 7.7 Hz, 2H), 7.22 – 7.15 (m, 2H), 2.36 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 161.1, 138.3, 137.5, 136.9, 136.2, 131.7, 130.1,

129.2, 128.5, 128.1, 127.8, 125.9, 121.9, 119.6, 114.7, 21.1.

M.p. 216.7 – 221.8 °C



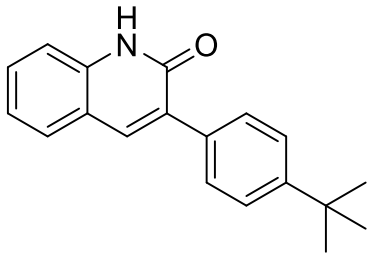
3-(*o*-tolyl)quinolin-2(1*H*)-one (3ad)[4]

General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2d** (0.5 mmol, 102.3 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3ad** as a **white solid** (18.9 mg, 40%).

^{1}H NMR (400 MHz, DMSO-d_6) δ 11.92 (s, 1H), 7.84 (s, 1H), 7.70 – 7.68 (m, 1H), 7.53 – 7.49 (m, 1H), 7.35 (d, J = 8.3 Hz, 1H), 7.29 – 7.24 (m, 2H), 7.23 – 7.17 (m, 3H), 2.18 (s, 3H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 160.8, 138.7, 138.6, 136.9, 136.6, 133.8, 130.1, 129.9, 129.6, 127.9, 127.8, 125.4, 121.8, 119.3, 114.8, 19.6.

M.p. 183.5 – 186.1 °C



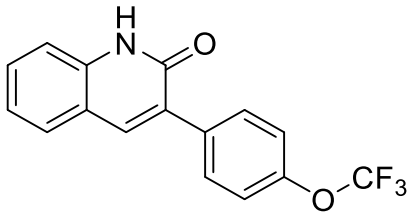
3-(4-(*tert*-butyl)phenyl)quinolin-2(1*H*)-one (3ae)[3]

General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2e** (0.5 mmol, 123.4 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3ae** as a **white solid** (43.3 mg, 78%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.90 (s, 1H), 8.06 (s, 1H), 7.70 (t, J = 8.6 Hz, 3H), 7.53 – 7.41 (m, 3H), 7.33 (d, J = 8.2 Hz, 1H), 7.19 (t, J = 7.5 Hz, 1H), 1.32 (s, 9H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 161.1, 150.3, 138.2, 137.1, 133.4, 131.5, 130.0, 128.4, 128.0, 124.7, 121.8, 119.6, 114.6, 34.3, 31.1.

M.p. 237.6 – 240.2 °C



3-(4-(trifluoromethoxy)phenyl)quinolin-2(1*H*)-one (3af)[4]

General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2f** (0.5 mmol, 137.3 mg), K_2CO_3 (1 mmol,

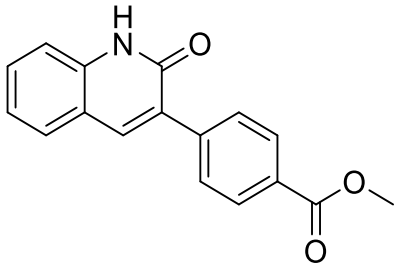
138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 4/1) on silica gel to afford the products titled product **3af** as a **white solid** (37.9 mg, 62%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.02 (s, 1H), 8.16 (s, 1H), 7.93 – 7.86 (m, 2H), 7.74 – 7.71 (m, 1H), 7.53 – 7.49 (m, 1H), 7.45 – 7.39 (m, 2H), 7.34 (d, J = 8.2 Hz, 1H), 7.23 – 7.16 (m, 1H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.9, 147.9, 138.5, 138.2, 135.5, 130.6, 130.5, 130.0, 128.2, 122.0, 120.5, 120.2 (C-F, q, $^1J_{C\text{-}F}$ = 256.1 Hz), 119.4, 114.8.

^{19}F NMR (376 MHz, DMSO) δ -56.7.

M.p. 249.5 – 252.8 °C



methyl 4-(2-*oxo*-1,2-dihydroquinolin-3-yl)benzoate (3ag)[3]

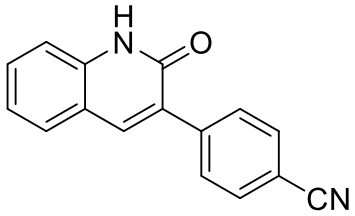
General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2g** (0.5 mmol, 124.4 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =3/1 to 1/1) on silica gel to afford the products titled product **3ag** as a **white solid** (31.8 mg, 57%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.03 (s, 1H), 8.23 (s, 1H), 8.01 (d, J = 8.5 Hz, 2H), 7.94 (d, J = 8.5 Hz, 2H), 7.76 – 7.74(m, 1H), 7.55 – 7.51(m, 1H), 7.36 – 7.34(m, 1H),

7.26 – 7.16 (m, 1H), 3.88 (s, 3H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 166.1, 160.8, 141.0, 138.8, 138.6, 130.7, 130.2, 128.9, 128.8, 128.7, 128.4, 122.0, 119.4, 114.79, 52.2.

M.p. 262.4 – 264.6 °C



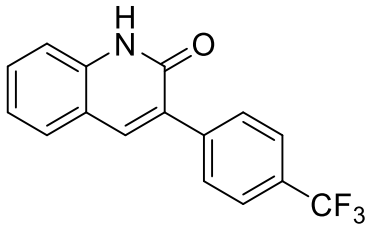
4-(2-*oxo*-1,2-dihydroquinolin-3-yl)benzonitrile (3ah)[3]

General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2h** (0.5 mmol, 107.8 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =3/1 to 1/1) on silica gel to afford the products titled product **3ah** as a **white solid** (38.5 mg, 78%).

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.09 (s, 1H), 8.28 (s, 1H), 8.04 – 8.00 (m, 2H), 7.91 (d, J = 8.4 Hz, 2H), 7.79 – 7.76 (m, 1H), 7.58 – 7.54 (m, 1H), 7.37 (d, J = 8.3 Hz, 1H), 7.27 – 7.21 (m, 1H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 160.6, 141.0, 139.2, 138.7, 131.8, 131.0, 129.5, 129.5, 128.5, 122.1, 119.3, 118.9, 114.8, 110.2 .

M.p. 274.5 – 278.8 °C



3-(4-(trifluoromethyl)phenyl)quinolin-2(1*H*)-one (3ai)[3]

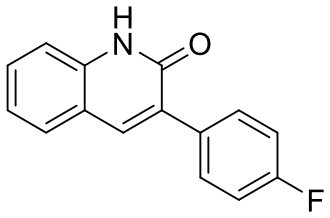
General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2i** (0.5 mmol, 129.3 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 4/1) on silica gel to afford the products titled product **3ai** as a **white solid** (35.9 mg, 62%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.05 (s, 1H), 8.23 (s, 1H), 8.00 (d, J = 8.0 Hz, 2H), 7.85 – 7.72 (m, 3H), 7.54 – 7.52 (m, 1H), 7.35 (d, J = 8.3 Hz, 1H), 7.23 – 7.22 (m, 1H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.7, 140.4, 138.9, 138.7, 130.8, 129.9, 129.4, 128.4, 128.0 (C-F, q, $^2J_{C\text{-}F}$ = 31.8 Hz), 124.8 (C-F, q, $^3J_{C\text{-}F}$ = 3.7 Hz), 124.3 (C-F, q, $^1J_{C\text{-}F}$ = 272.0 Hz), 122.1, 119.3, 114.8.

^{19}F NMR (376 MHz, DMSO) δ -114.1.

M.p. 262.5 – 266.9 °C



3-(4-fluorophenyl)quinolin-2(1*H*)-one (3aj)[3]

General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20

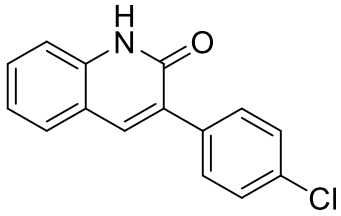
mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2j** (0.5 mmol, 104.3 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3aj** as a **white solid** (37.4 mg, 78%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.96 (s, 1H), 8.11 (s, 1H), 7.87 – 7.79 (m, 2H), 7.74 – 7.70 (m, 1H), 7.52 – 7.48 (m, 1H), 7.34 – 7.31 (m, 1H), 7.30 –7.23 (m, 2H), 7.22 – 7.17 (m, 1H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 161.8 (C-F, d, $^1J_{C\text{-}F}$ = 244.7 Hz), 161.0, 138.3, 137.6, 132.6 (C-F, d, $^4J_{C\text{-}F}$ = 3.2 Hz), 130.8 (C-F, d, $^3J_{C\text{-}F}$ = 8,1 Hz), 130.4, 130.2, 128.1, 121.9, 119.5, 114.8 (C-F, d, $^2J_{C\text{-}F}$ = 21.2 Hz), 114.7.

^{19}F NMR (376 MHz, DMSO) δ -61.0.

M.p. 246.7 – 248.8 °C



3-(4-chlorophenyl)quinolin-2(1*H*)-one (3ak)[3]

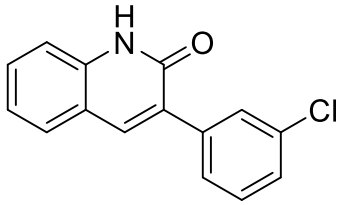
General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2k** (0.5 mmol, 112.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the

products titled product **3ak** as a **white solid** (40.9 mg, 80%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.99 (s, 1H), 8.14 (s, 1H), 7.85 – 7.77 (m, 2H), 7.77 – 7.70 (m, 1H), 7.56 – 7.44 (m, 3H), 7.35 – 7.33 (m, 1H), 7.20 (t, *J* = 7.5 Hz, 1H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.8, 138.4, 137.9, 135.0, 132.5, 130.4, 130.1, 128.2, 127.9, 122.0, 119.4, 114.7.

M.p. 255.1 – 256.9 °C



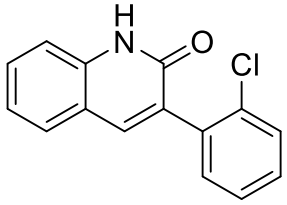
3-(3-chlorophenyl)quinolin-2(1*H*)-one (3al)[5]

General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2l** (0.5 mmol, 112.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3al** as a **white solid** (41.4 mg, 81%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.02 (s, 1H), 8.20 (s, 1H), 7.88 (t, *J* = 1.9 Hz, 1H), 7.77 – 7.71 (m, 2H), 7.55 – 7.48 (m, 1H), 7.48 – 7.40 (m, 2H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 1H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.8, 138.5, 138.4, 138.3, 132.6, 130.6, 129.8, 129.7, 128.4, 127.6, 127.2, 122.0, 119.4, 114.8.

M.p. 202.3 – 205.3 °C



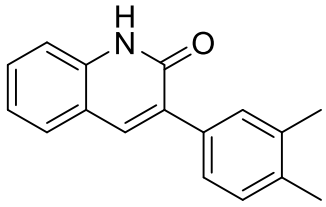
3-(2-chlorophenyl)quinolin-2(1*H*)-one (3am)[4]

General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2m** (0.5 mmol, 112.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3am** as a **white solid** (21.5 mg, 42%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.96 (s, 1H), 7.92 (s, 1H), 7.72 – 7.69 (m, 1H), 7.55 – 7.51 (m, 2H), 7.48 – 7.39 (m, 3H), 7.35 (d, J = 8.2 Hz, 1H), 7.20 (t, J = 7.5 Hz, 1H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.4, 139.4, 138.8, 135.8, 133.0, 131.9, 131.5, 130.6, 129.6, 129.2, 128.2, 127.0, 122.0, 119.0, 115.0.

Mp: 240.6-242.9 °C



3-(3,4-dimethylphenyl)quinolin-2(1*H*)-one (3an)[3]

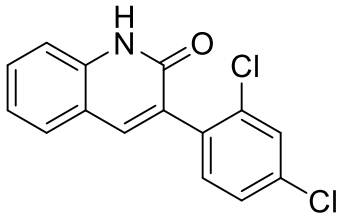
General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum

and refilled with nitrogen for three times. **2n** (0.5 mmol, 109.4 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3an** as a **white solid** (24.9 mg, 50%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.88 (s, 1H), 8.04 (s, 1H), 7.72 – 7.70 (m, 1H) , 7.54 (d, J = 1.9 Hz, 1H) , 7.52 – 7.45 (m, 2H) , 7.32 (d, J = 8.2 Hz, 1H), 7.21 – 7.14 (m, 2H), 2.27 (s, 3H), 2.25 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 161.1, 138.2, 136.9, 135.9, 135.5, 133.8, 131.6, 129.9, 129.6, 129.0, 128.0, 126.1, 121.8, 119.6, 114.6, 19.5, 19.2.

M.p. 254.5 – 256.2 °C



3-(2,4-dichlorophenyl)quinolin-2(*1*H)-one (3ao)

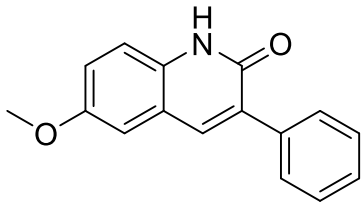
General Procedure was followed with **1a** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2o** (0.5 mmol, 129.8 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3ao** as a **white solid** (23.2 mg, 40%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.90 (s, 1H), δ 7.96 (s, 1H), 7.75 – 7.66 (m, 2H), 7.57 – 7.44 (m, 3H), 7.35 (d, J = 8.2 Hz, 1H), 7.24 – 7.18 (m, 1H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.2, 139.8, 138.9, 134.8, 134.1, 133.3, 133.2, 130.8, 130.3, 128.7, 128.3, 127.2, 122.1, 118.9, 115.0.

M.p.= 272.6 – 274.8 °C

HRMS (ESI) calcd for $C_{15}H_9Cl_2NNaO^+$ [M+H$^+$]: 311.9953, found 311.9953.



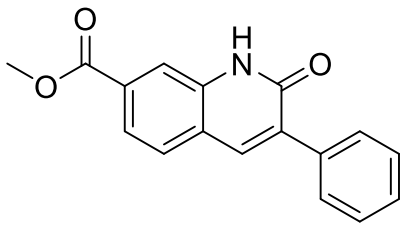
6-methoxy-3-phenylquinolin-2(1*H*)-one (3ba)[3]

General Procedure was followed with **1b** (0.2 mmol, 30.2 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3ba** as a **white solid** (24.1 mg, 48%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.84 (s, 1H), 8.04 (s, 1H), 7.76 – 7.73 (m, 2H), 7.46 – 7.40 (m, 2H), 7.39 – 7.33 (m, 1H), 7.29 – 7.27 (m, 2H), 7.17 – 7.14 (m, 1H), 3.79 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.6, 154.2, 137.2, 136.4, 132.9, 131.9, 128.7, 127.9, 127.8, 120.1, 119.5, 116.0, 109.4, 55.5.

M.p. 243.5 – 245.2 °C



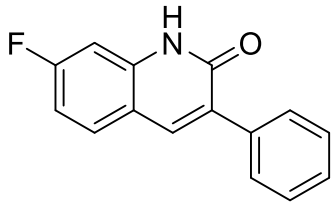
methyl2-*oxo*-3-phenyl-1,2-dihydroquinoline-7-carboxylate (3ca)[3]

General Procedure was followed with **1c** (0.2 mmol, 35.8 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3ca** as a **white solid** (36.8 mg, 66%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.14 (s, 1H), 8.16 (s, 1H), 7.95 (s, 1H), 7.84 (d, J = 8.2 Hz, 1H), 7.79 – 7.74 (m, 2H), 7.72 – 7.70 (m, 1H), 7.49 – 7.37 (m, 3H), 3.89 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 165.8, 161.0, 138.0, 136.8, 135.8, 134.0, 130.4, 128.8, 128.5, 128.2, 128.0, 122.9, 121.8, 115.8, 52.4.

M.p.= 245.0 – 252.6 °C



7-fluoro-3-phenylquinolin-2(1*H*)-one (3da)[3]

General Procedure was followed with **1d** (0.2 mmol, 33.9 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1

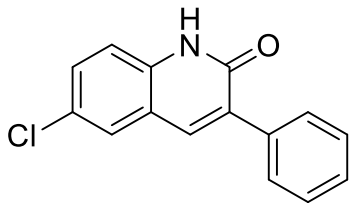
mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3da** as a **white solid** (40.2 mg, 67%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.02 (s, 1H), 8.12 (s, 1H), 7.82 – 7.78 (m, 1H), 7.77 – 7.70 (m, 2H), 7.47 – 7.40 (m, 2H), 7.40 – 7.33 (m, 1H), 7.11 – 7.03 (m, 2H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 163.0 (C−F, d, $^1J_{C\text{-}F}$ = 246.7 Hz), 161.1, 139.8 (C−F, d, $^3J_{C\text{-}F}$ = 12.4Hz), 137.2, 136.1, 130.7 (C−F, d, $^3J_{C\text{-}F}$ = 10.8Hz), 130.6 128.6, 128.0, 127.9, 116.6, 110.2 (C−F, d, $^2J_{C\text{-}F}$ = 23.3 Hz), 100.6 (C−F, d, $^2J_{C\text{-}F}$ = 25.6 Hz)。

^{19}F NMR (376 MHz, DMSO) δ -109.1.

M.p. 205.5 – 207.9 °C



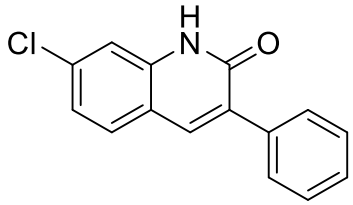
6-chloro-3-phenylquinolin-2(1*H*)-one (3ea)[3]

General Procedure was followed with **1e** (0.2 mmol, 37.1 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3ea** as a **white solid** (44.4 mg, 87%).

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.07 (s, 1H), 8.08 (s, 1H), 7.83 (d, J = 2.4 Hz, 1H), 7.78 – 7.70 (m, 2H), 7.54 – 7.52 (m, 1H), 7.46 – 7.42 (m, 2H), 7.41 – 7.36 (m, 1H), 7.35 – 7.33 (m, 1H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 160.8, 137.1, 136.5, 135.9, 132.8, 130.0, 128.7, 128.1, 128.0, 127.0, 125.7, 120.7, 116.6.

M.p. 244.5 – 246.1 °C



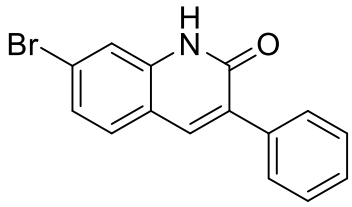
7-chloro-3-phenylquinolin-2(1H)-one (3fa)[3]

General Procedure was followed with **1f** (0.2 mmol, 37.1 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3fa** as a **white solid** (39.3 mg, 77%).

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.02 (s, 1H), 8.11 (s, 1H), 7.80 – 7.72 (m, 3H), 7.47 – 7.40 (m, 2H), 7.40 – 7.37 (m, 1H), 7.36 – 7.35 (m, 1H), 7.24 – 7.22 (m, 1H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 160.9, 139.2, 137.0, 135.9, 134.5, 131.8, 129.9, 128.6, 128.0, 128.0, 122.`, 118.4, 113.9.

M.p. 233.2 – 236.0 °C.



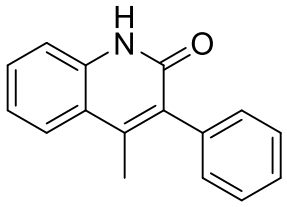
7-bromo-3-phenylquinolin-2(1*H*)-one (3ga)

General Procedure was followed with **1g** (0.2 mmol, 24.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =5/1 to 3/1) on silica gel to afford the products titled product **3ga** as a **white solid** (40.2 mg, 67%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 12.01 (s, 1H), 8.10 (s, 1H), 7.77 – 7.72 (m, 2H), 7.68 (d, J = 8.4 Hz, 1H), 7.50 (d, J = 1.9 Hz, 1H), 7.46 – 7.33 (m, 4H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.9, 139.3, 137.1, 135.9, 132.0, 130.0, 128.6, 128.0, 128.0, 124.8, 123.2, 118.6, 116.9.

M.p. 262.8– 264.5 °C



4-methyl-3-phenylquinolin-2(1*H*)-one (3ha)[6]

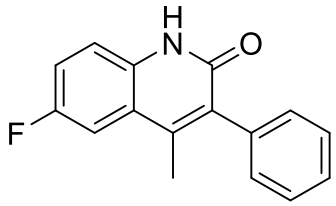
General Procedure was followed with **1h** (0.2 mmol, 27.1 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol,

138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =3/1 to 1/1) on silica gel to afford the products titled product **3ha** as a **white solid** (41.0 mg, 87%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.80 (s, 1H), 7.77 (d, J = 8.1 Hz, 1H), 7.51 (t, J = 7.7 Hz, 1H), 7.43 (t, J = 7.5 Hz, 2H), 7.37 – 7.33 (m, 2H), 7.27 – 7.18 (m, 3H). 2.25 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 161.0, 143.3, 137.8, 136.3, 132.0, 130.3, 130.0, 127.8, 127.2, 125.3, 121.8, 119.9, 115.2, 16.6.

M.p. 251.6 – 253.1 °C



6-fluoro-4-methyl-3-phenylquinolin-2(1*H*)-one (3ia)[6]

General Procedure was followed with **1i** (0.2 mmol, 30.3 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =2/1 to 1/2) on silica gel to afford the products titled product **3ia** as a **white solid** (37.5 mg, 74%).

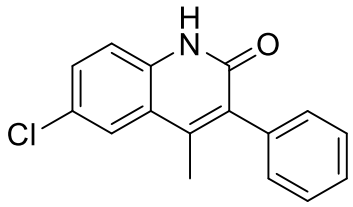
^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.87 (s, 1H), 7.56 (dd, J = 10.4, 2.7 Hz, 1H), 7.46 – 7.32 (m, 5H), 7.27 – 7.21 (m, 2H), 2.22 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.8, 157.2 (d, J = 237.4 Hz), 142.7 (d, J = 3.4 Hz), 136.1, 134.5, 133.1, 130.2, 127.9, 127.3, 120.8 (d, J = 8.2 Hz), 118.0 (d, J = 24.3

Hz), 116.9 (d, J = 8.5 Hz), 110.6 (d, J = 23.4 Hz), 16.7.

^{19}F NMR (376 MHz, DMSO) δ -120.5.

M.p. 306.6 – 310.1 °C



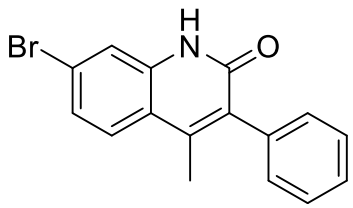
6-chloro-4-methyl-3-phenylquinolin-2(1*H*)-one (3ja)[6]

General Procedure was followed with **1j** (0.2 mmol, 33.8 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =4/1 to 3/1) on silica gel to afford the products titled product **3ja** as a **white solid** (44.7 mg, 83%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.93 (s, 1H), 7.77 (d, J = 2.3 Hz, 1H), 7.54 (dd, J = 8.8, 2.3 Hz, 1H), 7.45 – 7.41 (m, 2H), 7.39 – 7.32 (m, 2H), 7.26 – 7.21 (m, 2H), 2.23 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.8, 142.5, 136.6, 135.9, 133.1, 130.2, 129.9, 127.9, 127.4, 125.8, 124.5, 121.2, 117.0, 16.6.

M.p. 270.1 – 274.4 °C



7-bromo-4-methyl-3-phenylquinolin-2(1*H*)-one (3ka)

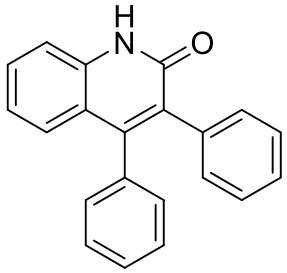
General Procedure was followed with **1k** (0.2 mmol, 42.6 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was purified by column chromatography (PE/EA =4/1 to 3/1) on silica gel to afford the products titled product **3ka** as a **white solid** (55.7 mg, 89%).

^{1}H NMR (400 MHz, DMSO-*d*$_6$) δ 11.87 (s, 1H), 7.70 (d, J = 8.7 Hz, 1H), 7.50 (d, J = 2.1 Hz, 1H), 7.45 – 7.41 (m, 2H), 7.39 – 7.33 (m, 2H), 7.26 – 7.21 (m, 2H), 2.23 (s, 3H).

^{13}C NMR (101 MHz, DMSO-*d*$_6$) δ 160.9, 143.0, 138.9, 135.9, 132.4, 130.2, 127.8, 127.3, 127.3, 124.5, 123.0, 119.0, 117.2, 16.6.

M.p. 239.9 – 242.8 °C

HRMS (ESI) calcd for $C_{16}H_{12}BrNNaO^+$ [M+H$^+$]: 335.9994, found 335.9996.



3,4-diphenylquinolin-2(1*H*)-one (3la)[6]

General Procedure was followed with **1l** (0.2 mmol, 39.4 mg), $Pd(OAc)_2$ (10 mol%, 4.6 mg), Xphos (20 mol%, 19.2 mg), $Mo(CO)_6$ (0.3 mmol, 80.0 mg) and 4Å MS (20 mg) were added to an oven-dried tube (15.0 mL), which was then placed under vacuum and refilled with nitrogen for three times. **2a** (0.5 mmol, 97.5 mg), K_2CO_3 (1 mmol, 138.4 mg) and CH_3CN (1.0 mL) were added into the tube via a syringe. The tube was sealed and the mixture was stirred at 110 °C for 24 h. After the reaction was completed, the crude mixture was filtered and concentrated under vacuum. The crude product was

purified by column chromatography (PE/EA =3/1 to 1/1) on silica gel to afford the products titled product **3la** as a **white solid** (50.0 mg, 84%).

^{1}H NMR (400 MHz, DMSO-d_6) δ 12.06 (s, 1H), 7.53 – 7.47 (m, 1H), 7.41 (d, J = 8.2 Hz, 1H), 7.25 – 7.31 (m, 3H), 7.17 – 7.04 (m, 8H), 7.01 – 6.99 (m, 1H).

^{13}C NMR (101 MHz, DMSO-d_6) δ 161.2, 148.1, 138.3, 136.1, 135.7, 132.0, 130.7, 130.2, 129.5, 128.0, 127.5, 127.1, 126.8, 126.6, 121.78 119.9, 115.2.

M.p. 310.0 – 312.1 °C

5. Reference

(1) Bag, S.; Jayarajan, R.; Mondal, R.; Maiti, D. Template-Assisted *meta*-C-H Alkylation and Alkenylation of Arenes. *Angew*. *Chem*. *Int*. *Ed*. **2017**, *56*, 3182-3186.

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(3) Liu, J.-L.; Hou, C.-Y.; Qi, X.; Wu, X.-F. Palladium-catalyzed carbonylative synthesis of 3-arylquinolin-2(1*H*)-ones from benzyl chlorides and *o*-nitrobenzaldehydes. *Mol. Catal*. **2021**, *514*, 111842.

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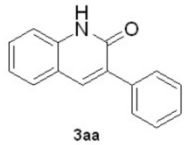
(5) Charoenpol, A.; Meesin, J.; Khaikate, O.; Reutrakul, V.; Pohmakotr, M.; Leowanawat, P.; Soorukram, D.; Kuhakarn, C. Synthesis of 3-substituted quinolin-2(1*H*)-ones *via* the cyclization of *o*-alkynylisocyanobenzenes. *Org. Biomol. Chem*. **2018**, *16*, 7050-7054.

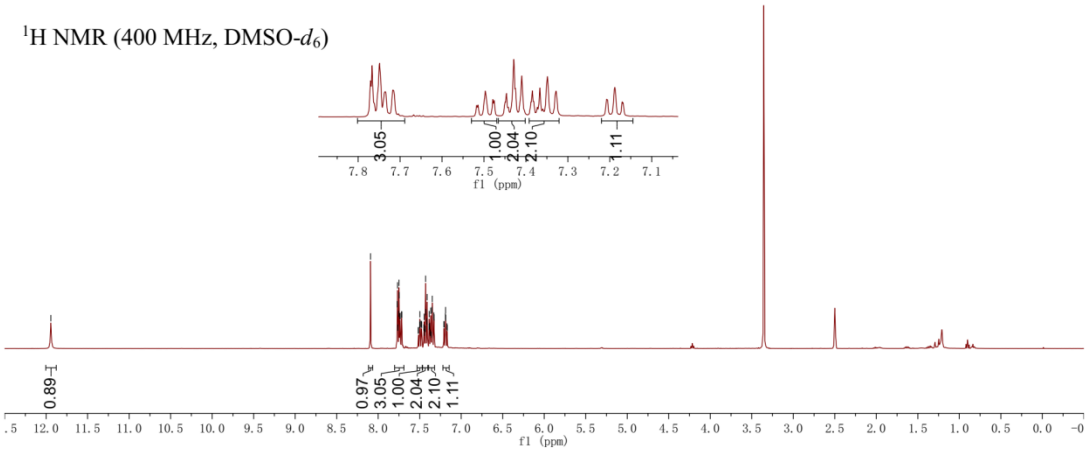
(6) Fu, L.; Huang, X.; Wang, D.; Zhao, P.; Ding, K. Copper(I) Iodide Catalyzed Synthesis of Quinolinones via Cascade Reactions of 2-Halobenzocarbonyls with 2-Arylacetamides. *Synthesis* **2011**, *10*, 1547-1554.

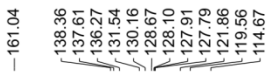
6. Copy of ^{1}H and ^{13}C NMR Spectra of Products

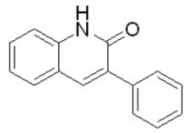


3aa

^{1}H NMR (400 MHz, DMSO-d_6)

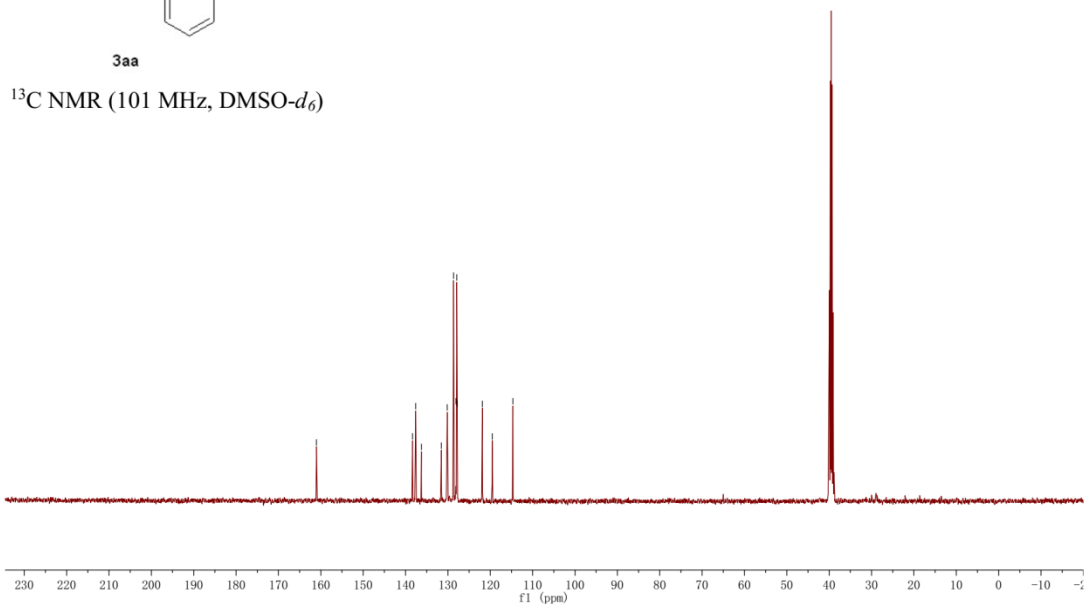


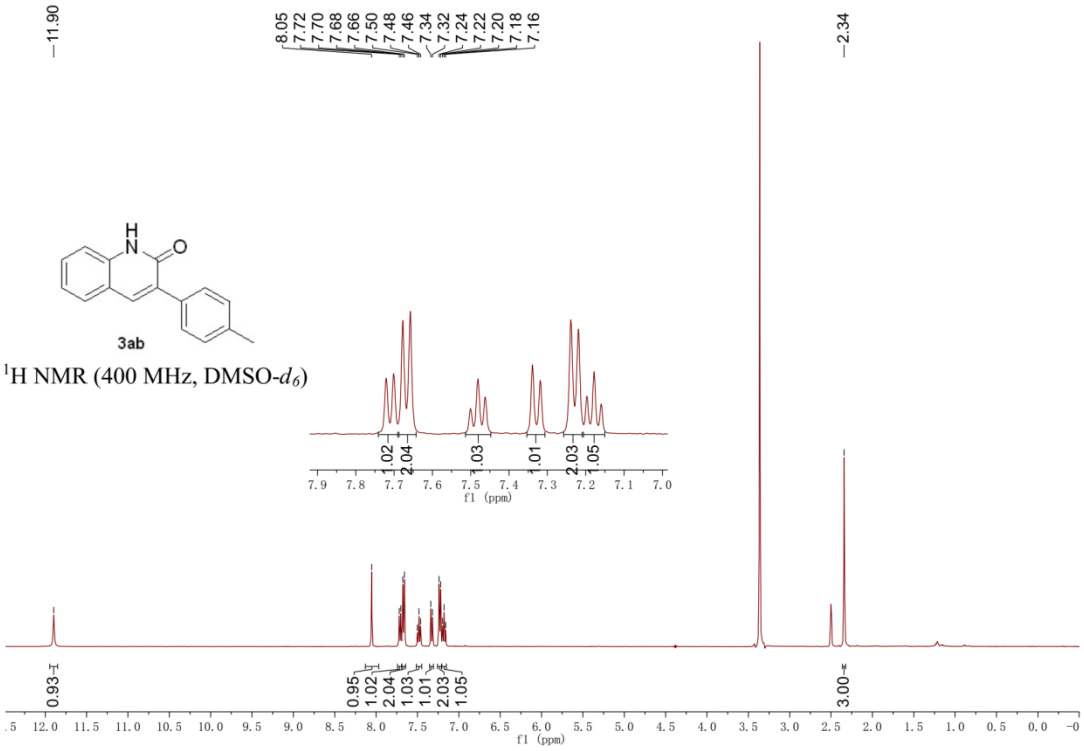




3aa

^{13}C NMR (101 MHz, DMSO-d_6)





3ab

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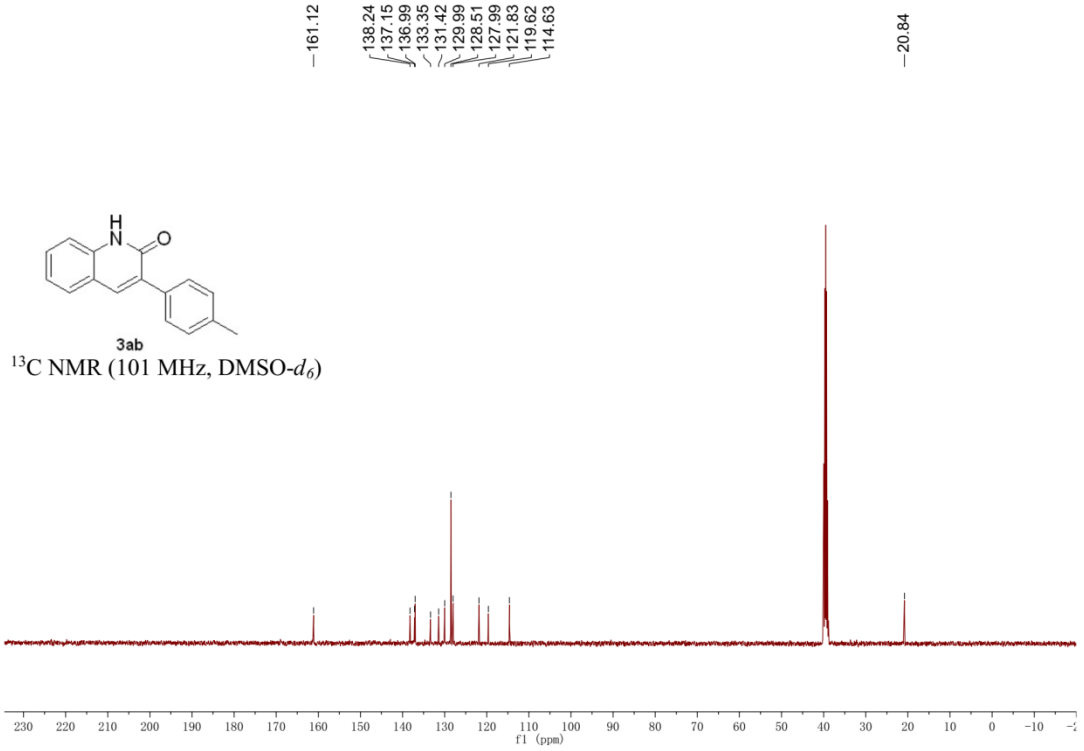
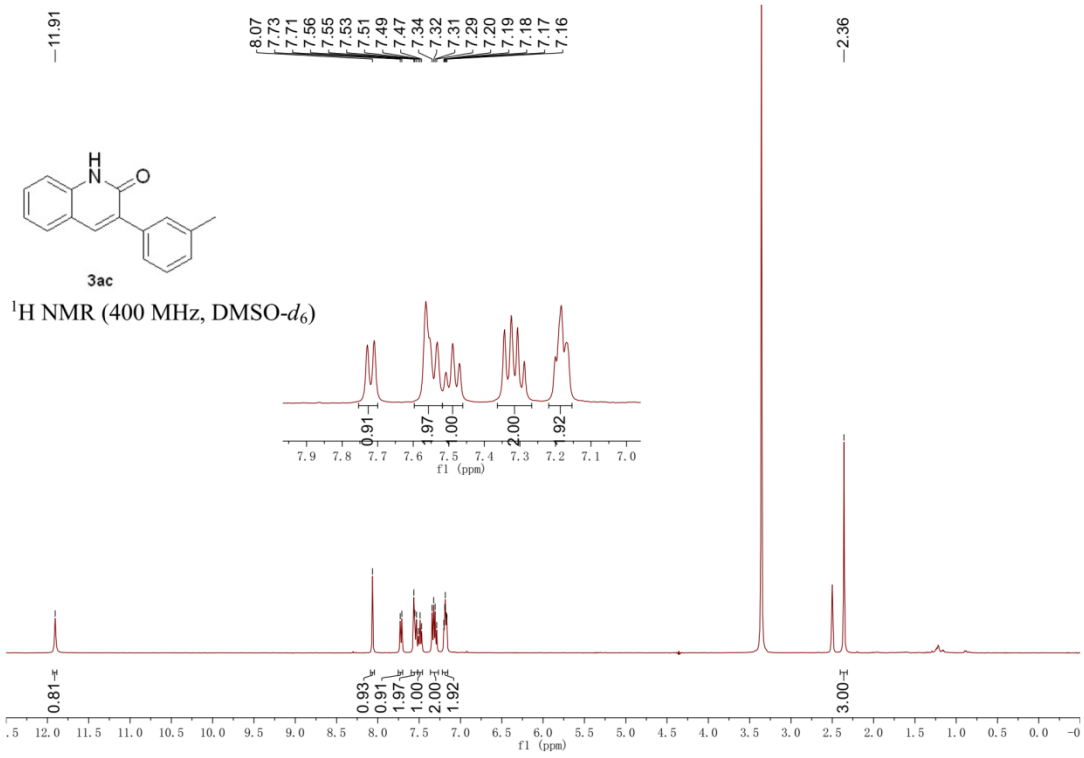


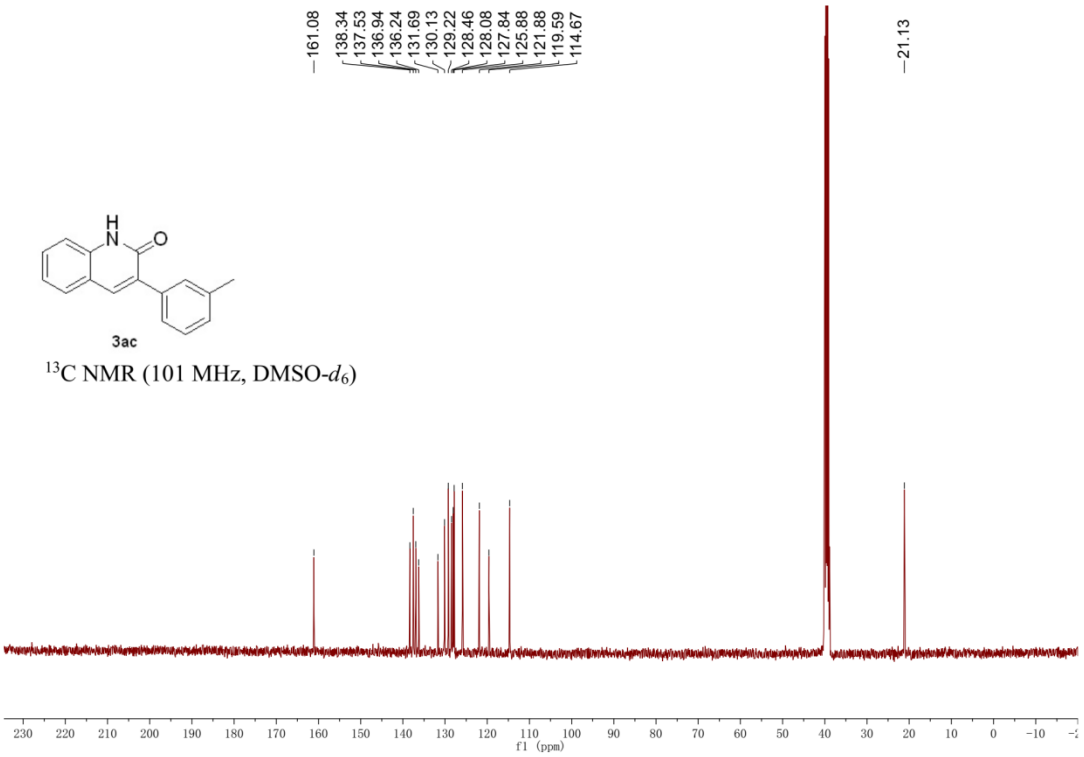
3ab

^{13}C NMR (101 MHz, DMSO-d_6)



3ac

^{1}H NMR (400 MHz, DMSO-d_6)



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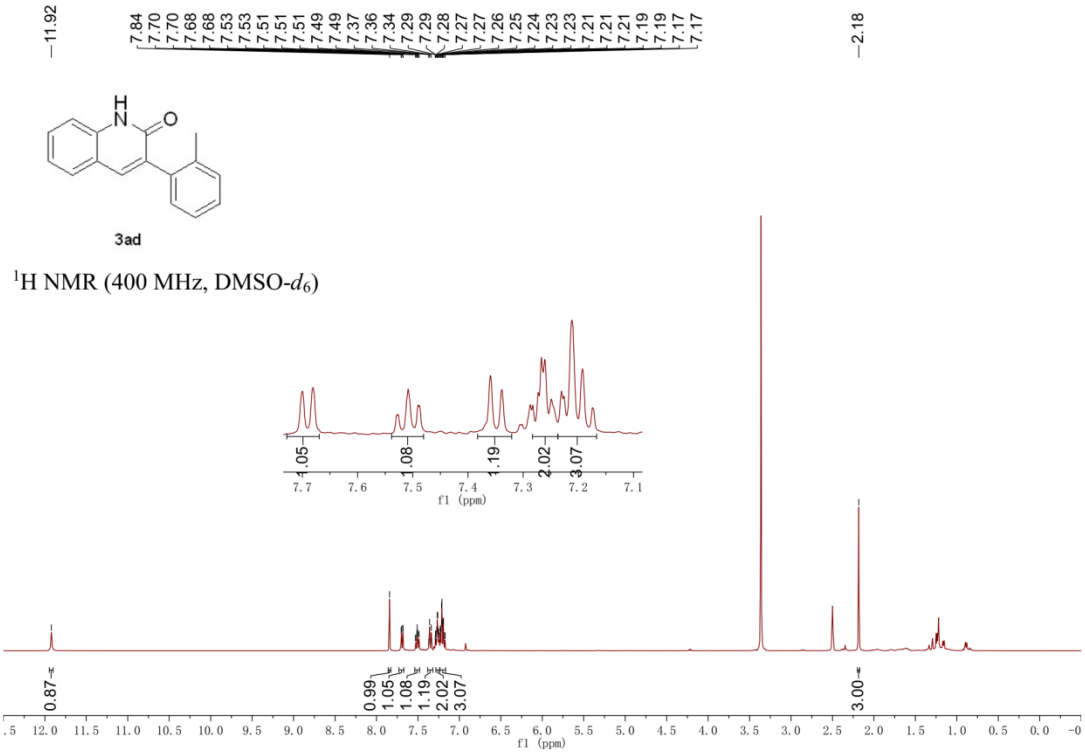

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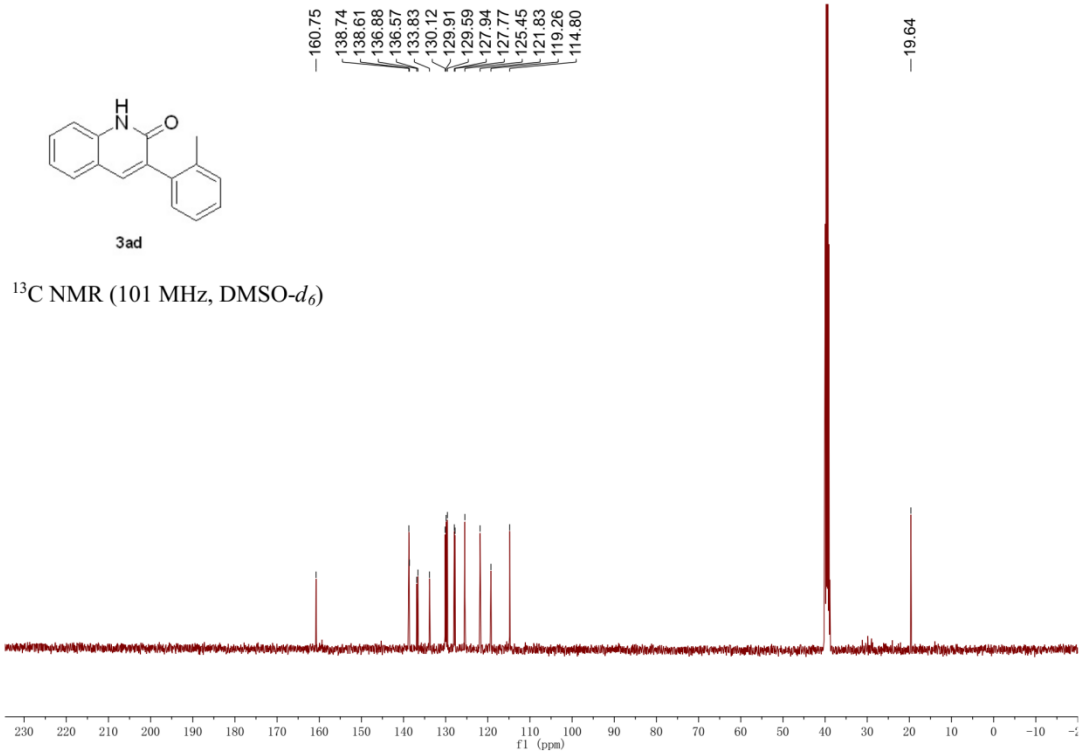

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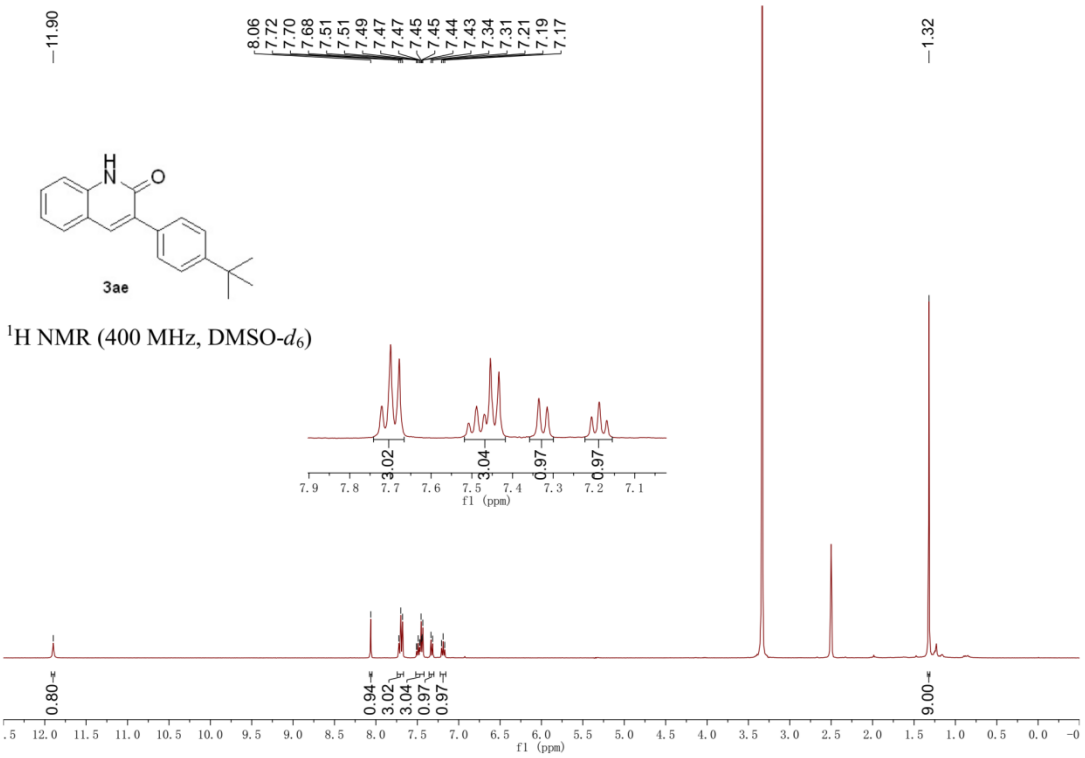

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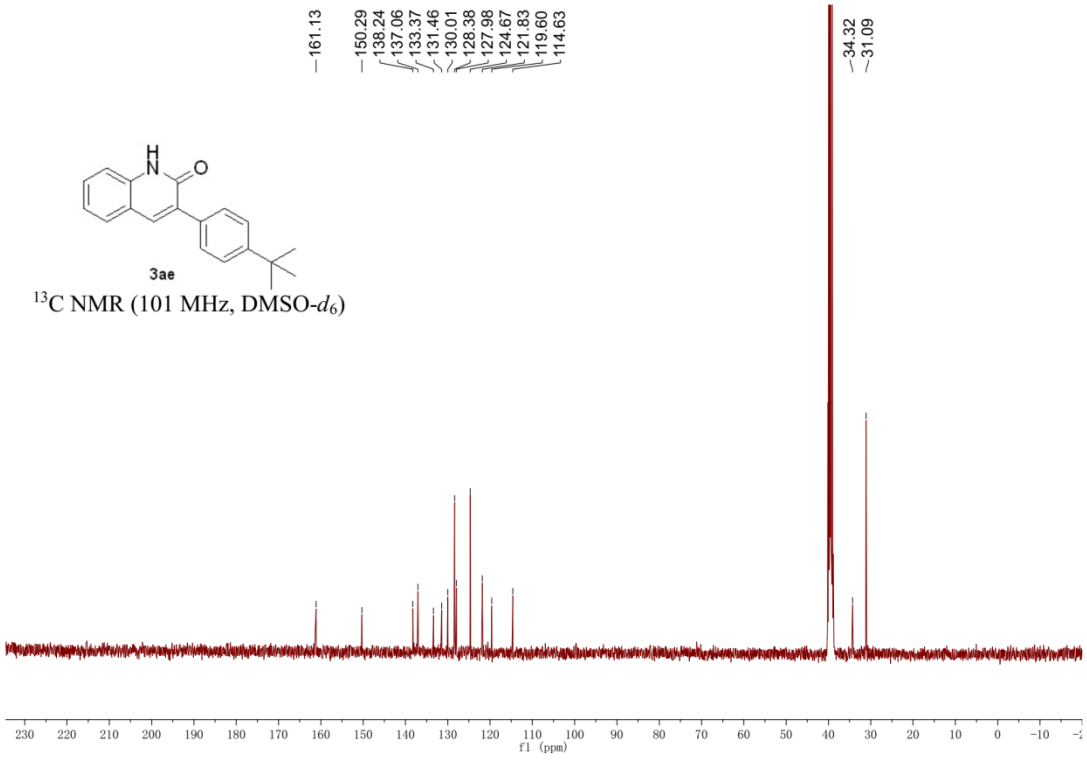

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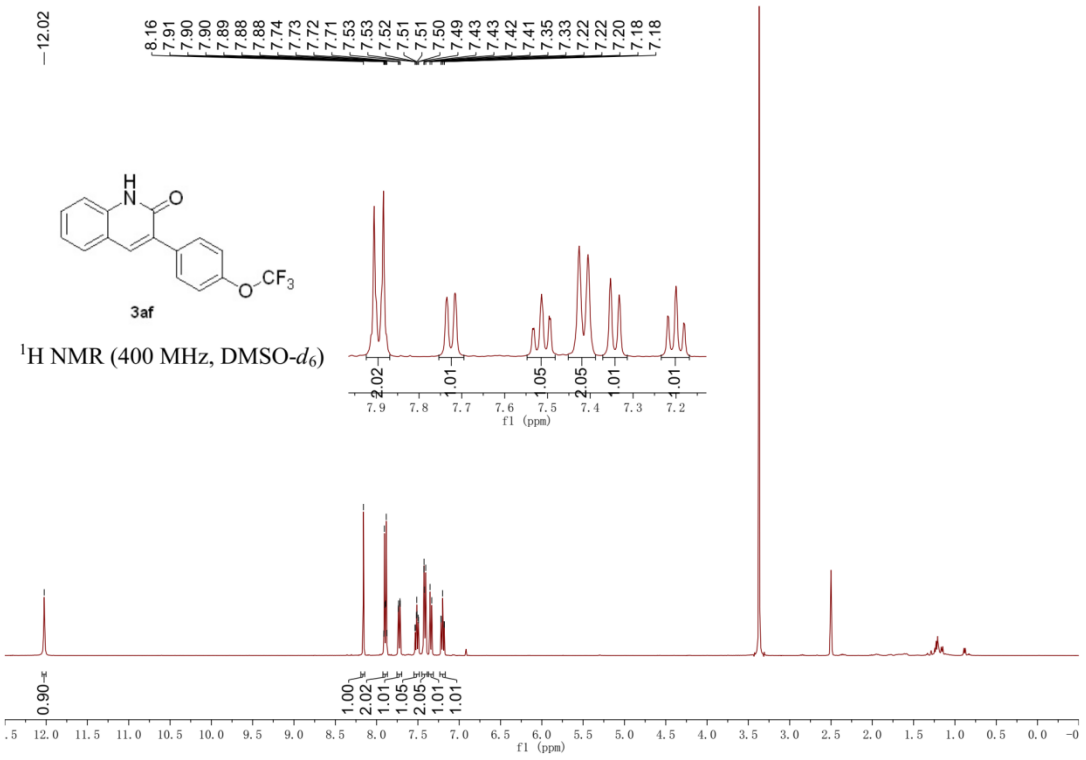

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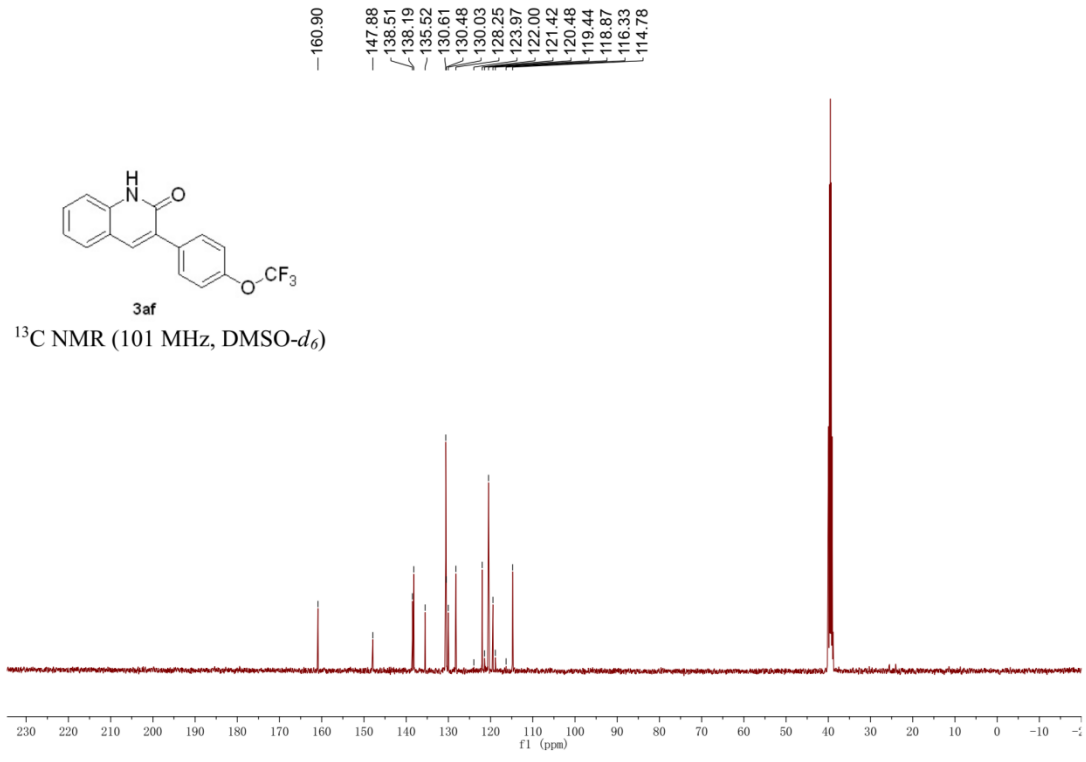
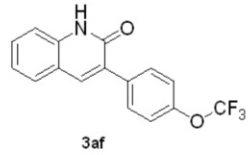
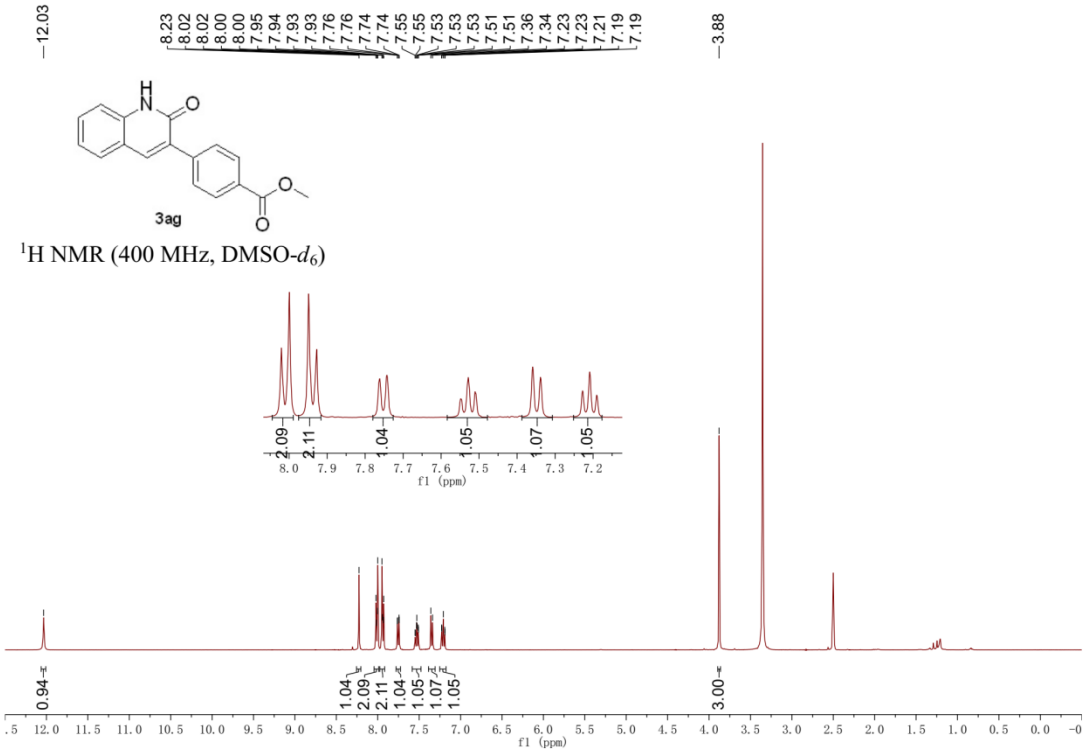


^{13}C NMR (101 MHz, DMSO-d_6)



3af

^{19}F NMR (376 MHz, DMSO)



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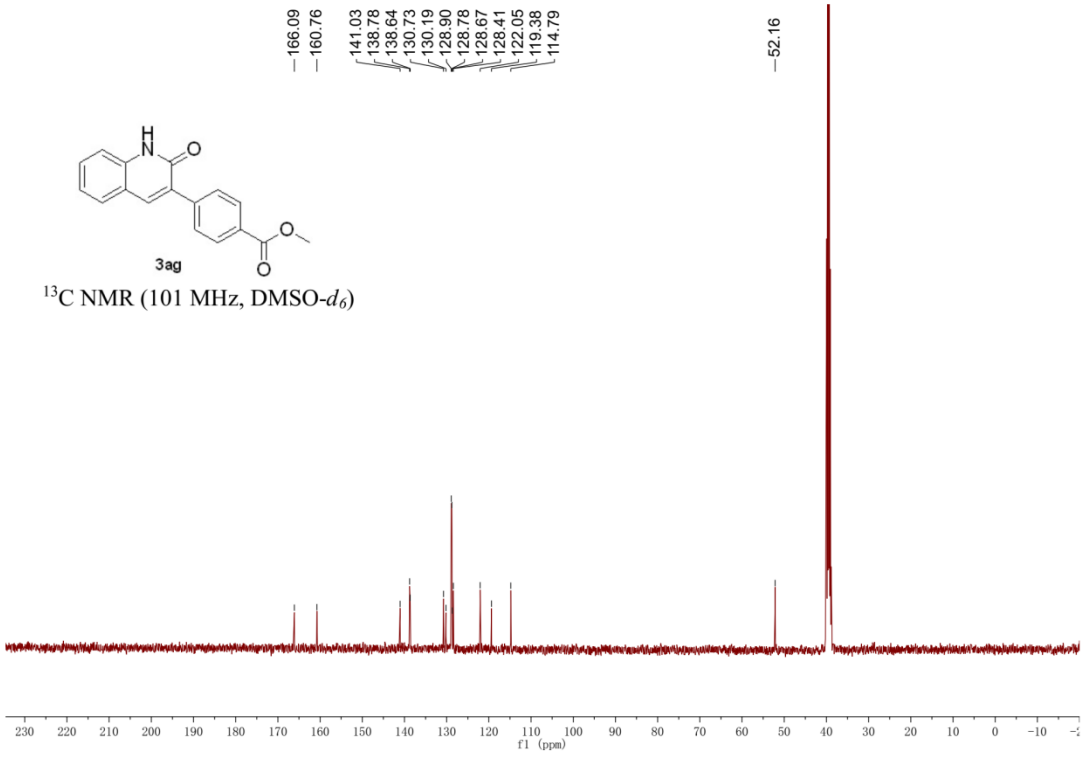

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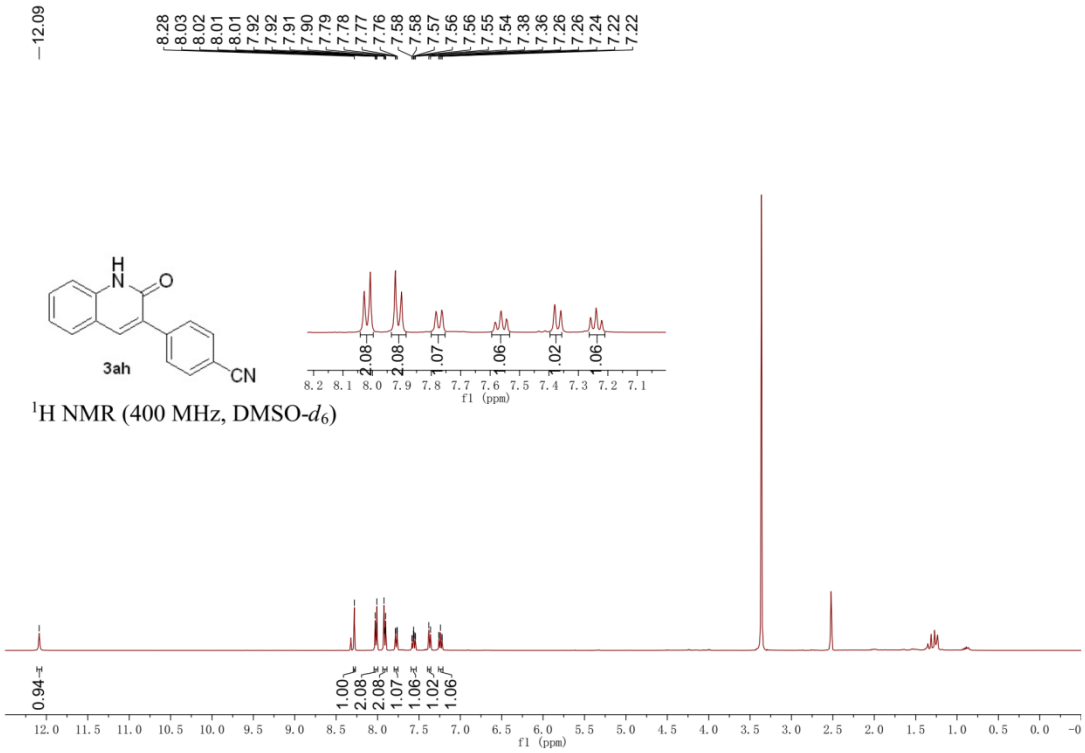

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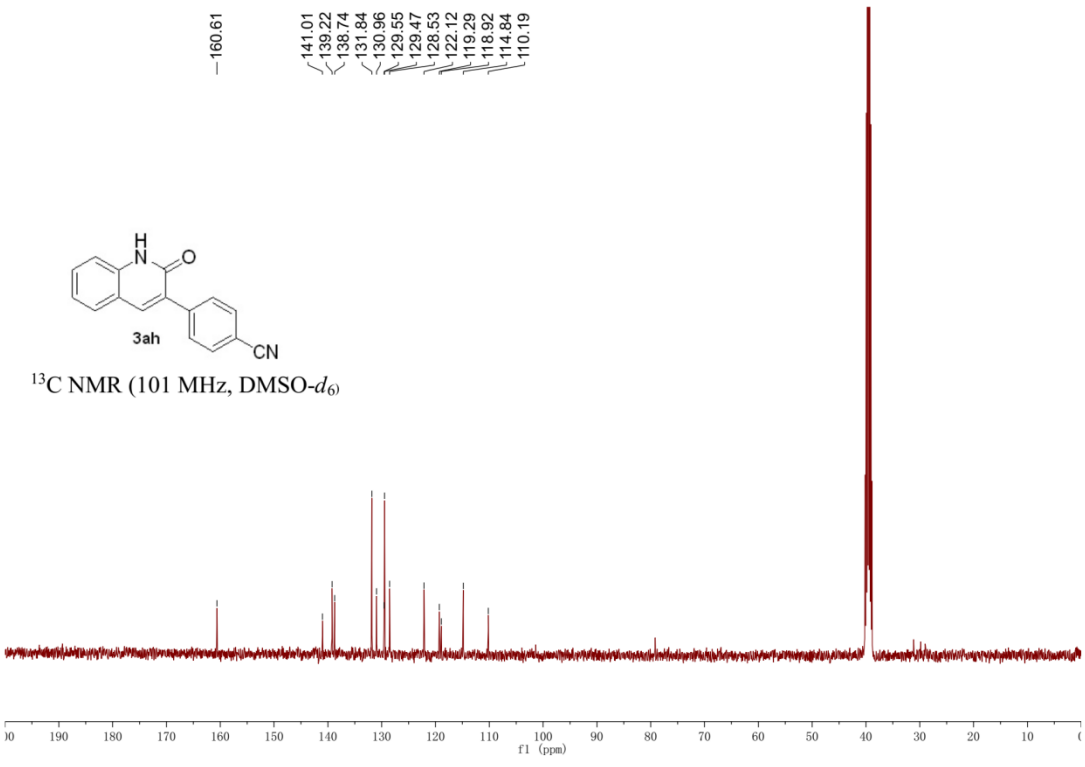

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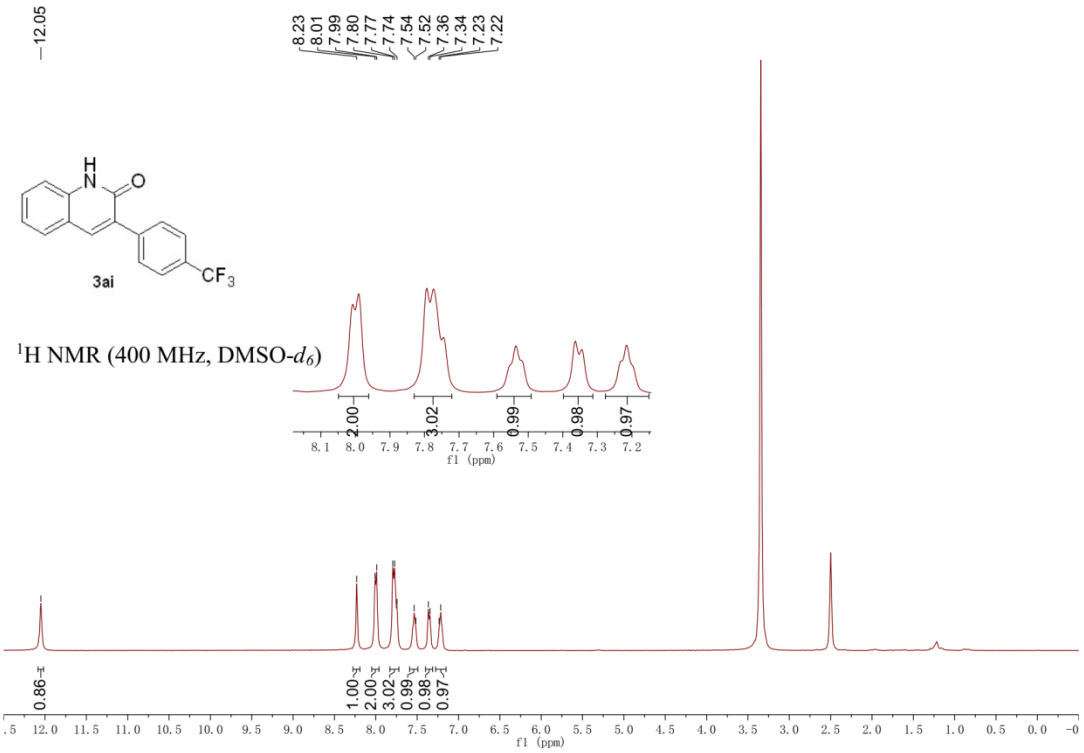

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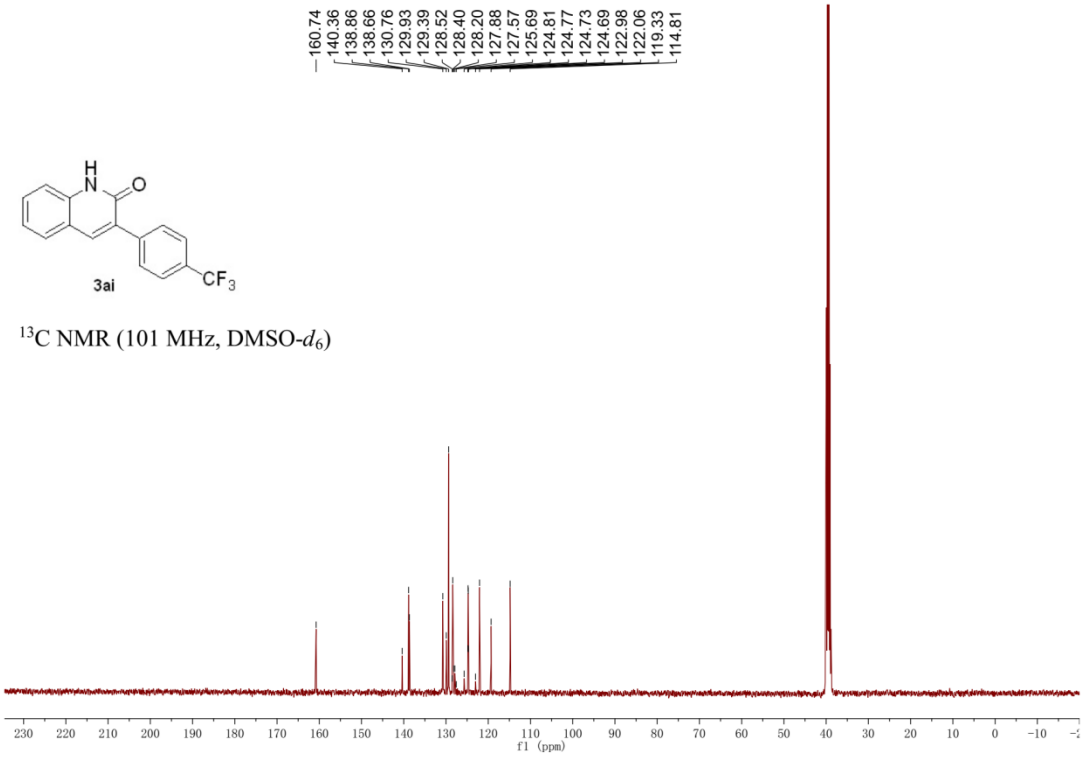

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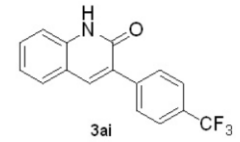
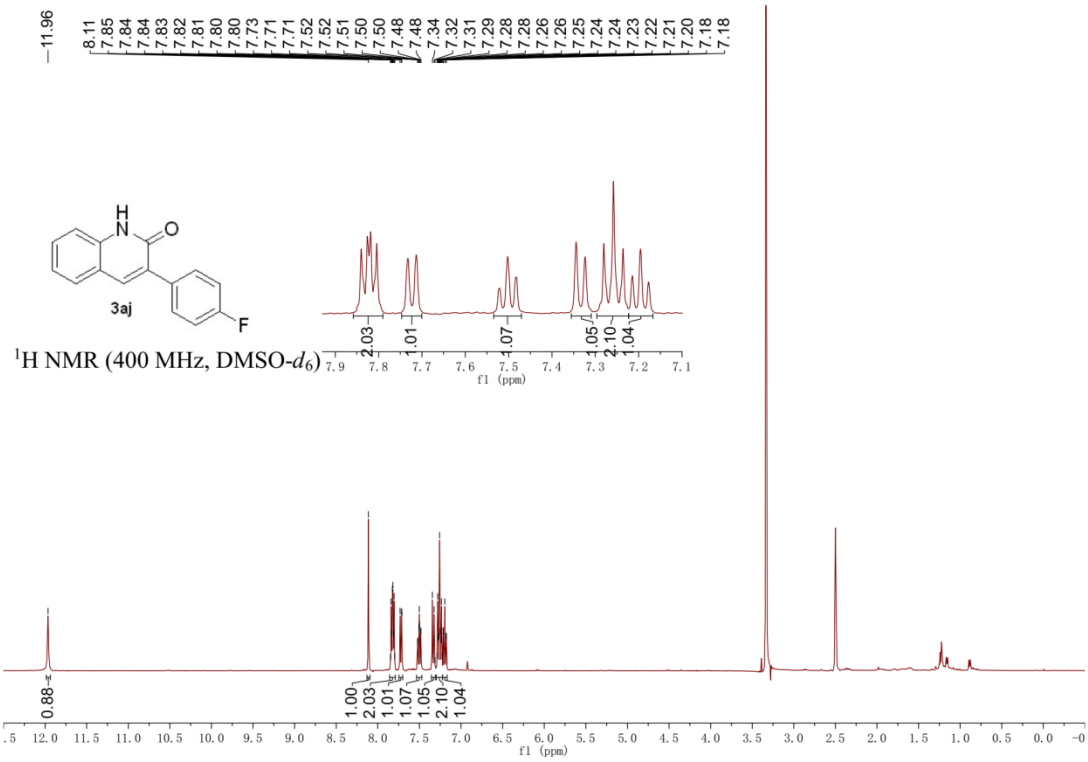
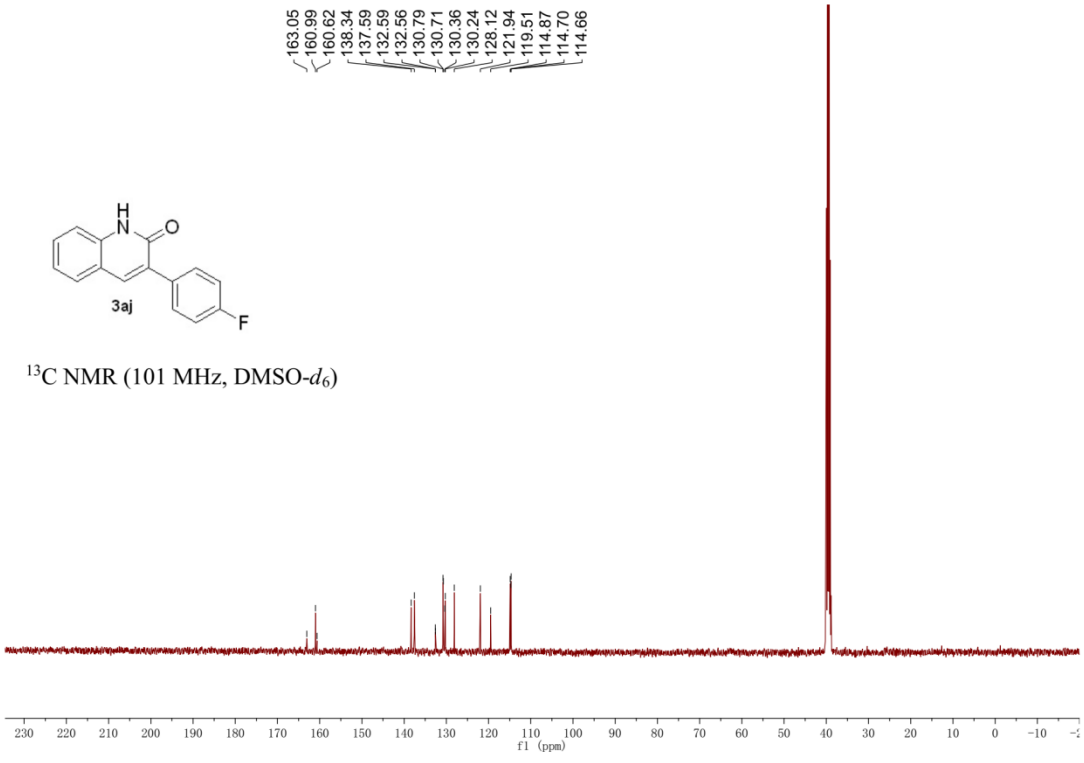


3ai

^{19}F NMR (376 MHz, DMSO)



^{1}H NMR (400 MHz, DMSO-d_6)



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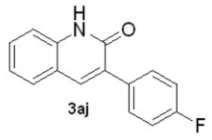
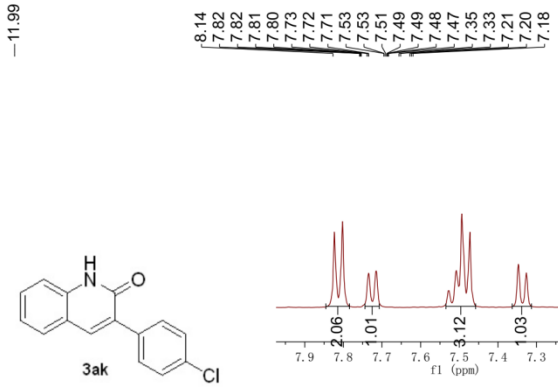


3aj

^{19}F NMR (376 MHz, DMSO)



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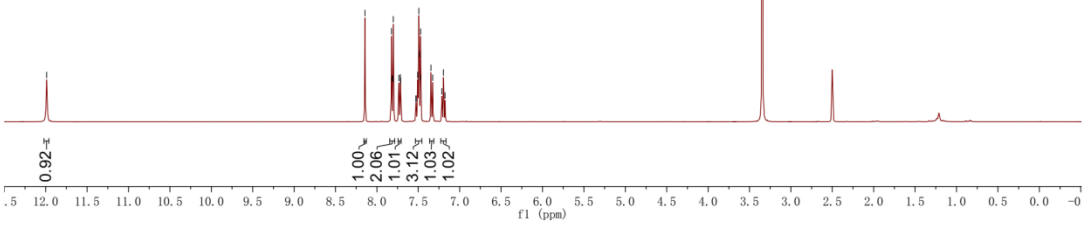
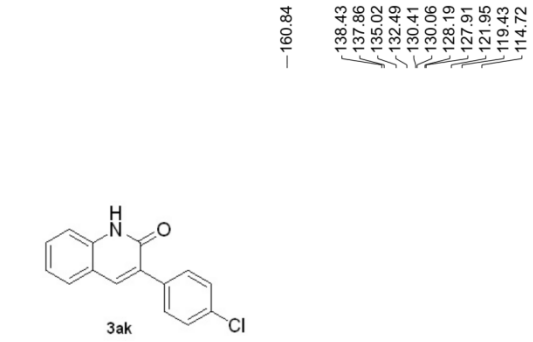
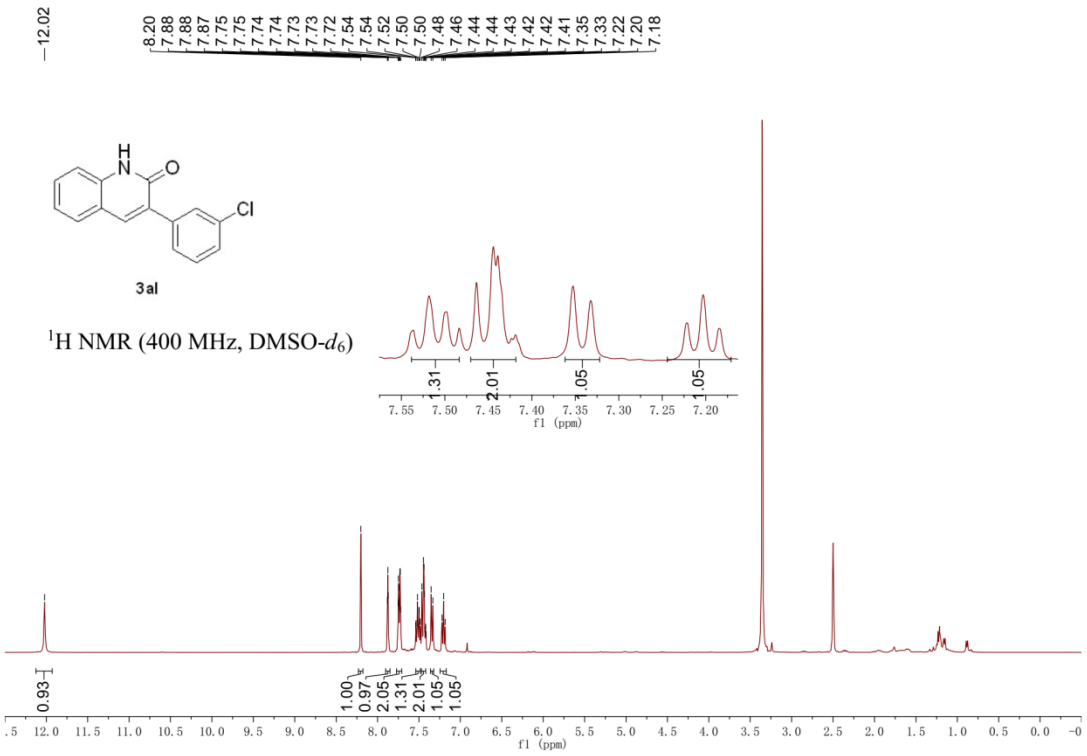


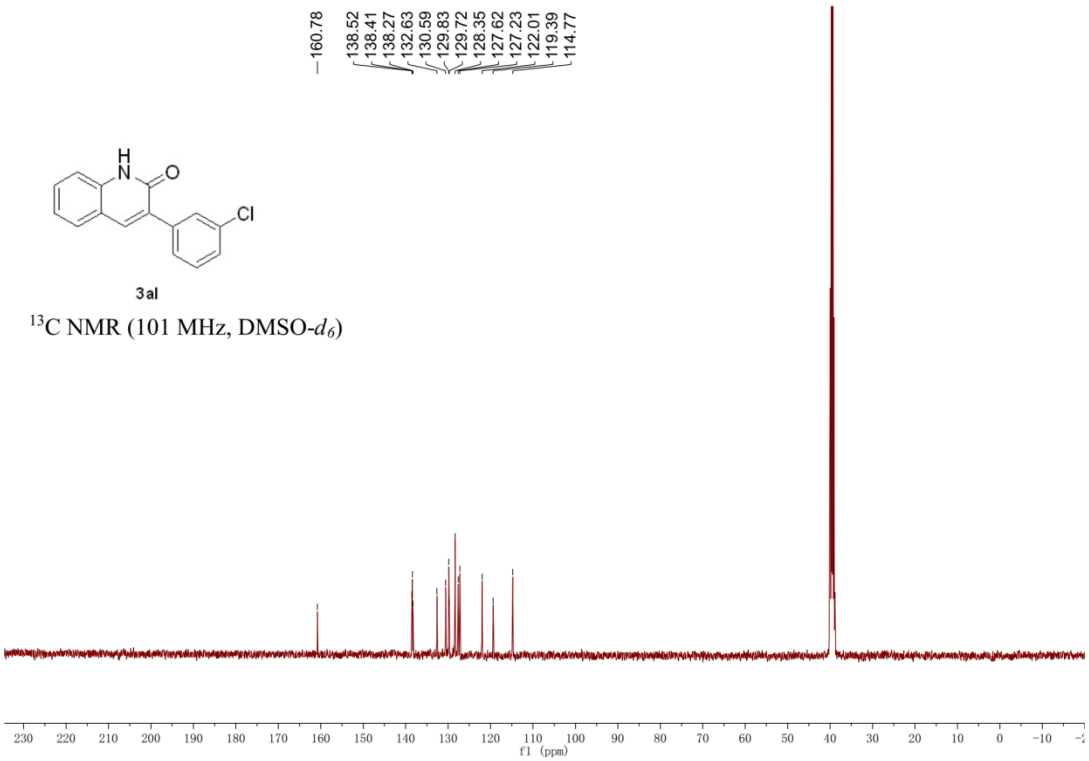


^{13}C NMR (101 MHz, DMSO-d_6)



3al

^{1}H NMR (400 MHz, DMSO-d_6)



3al

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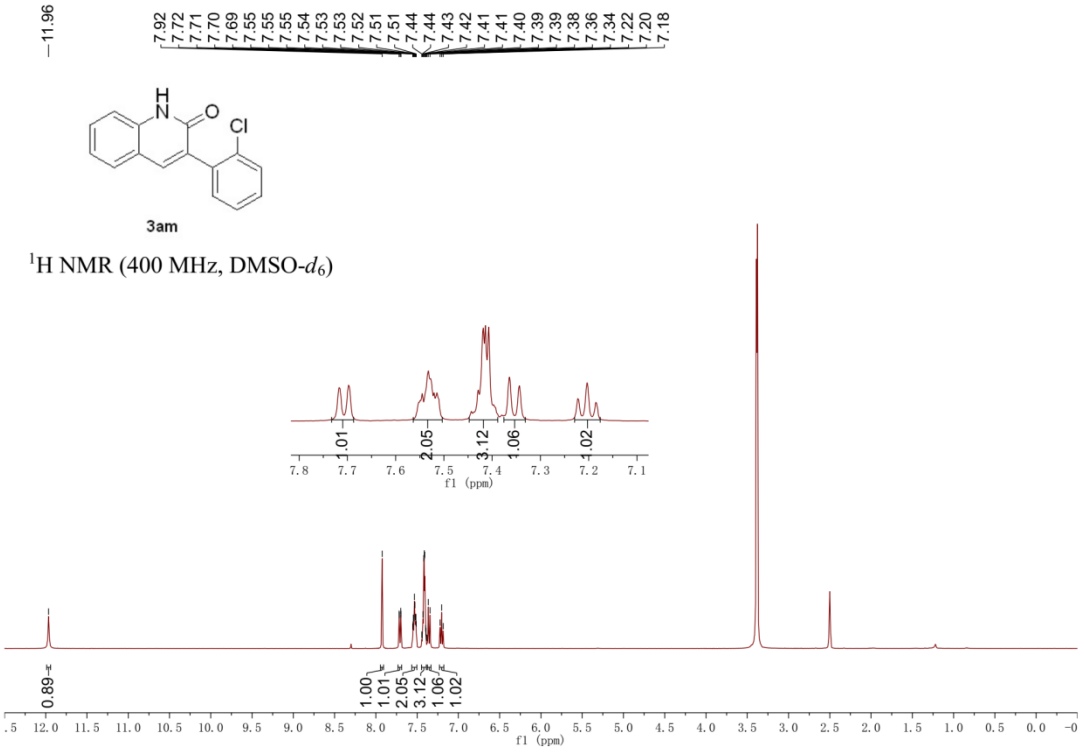

3am

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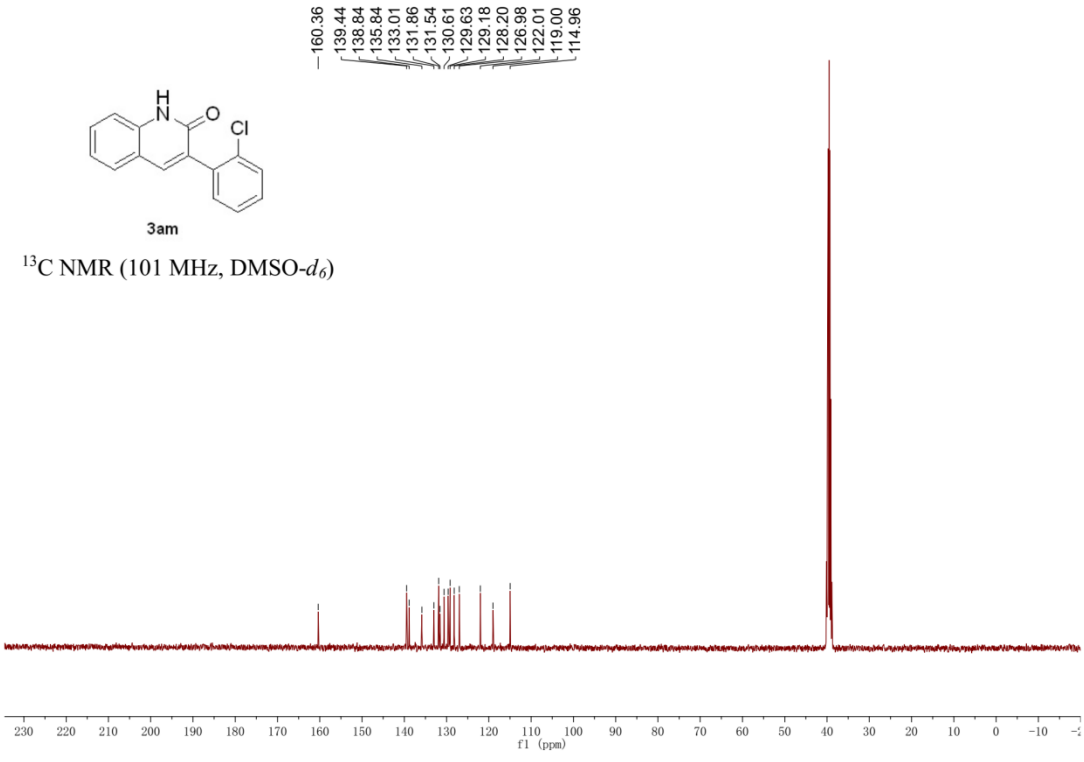

3am

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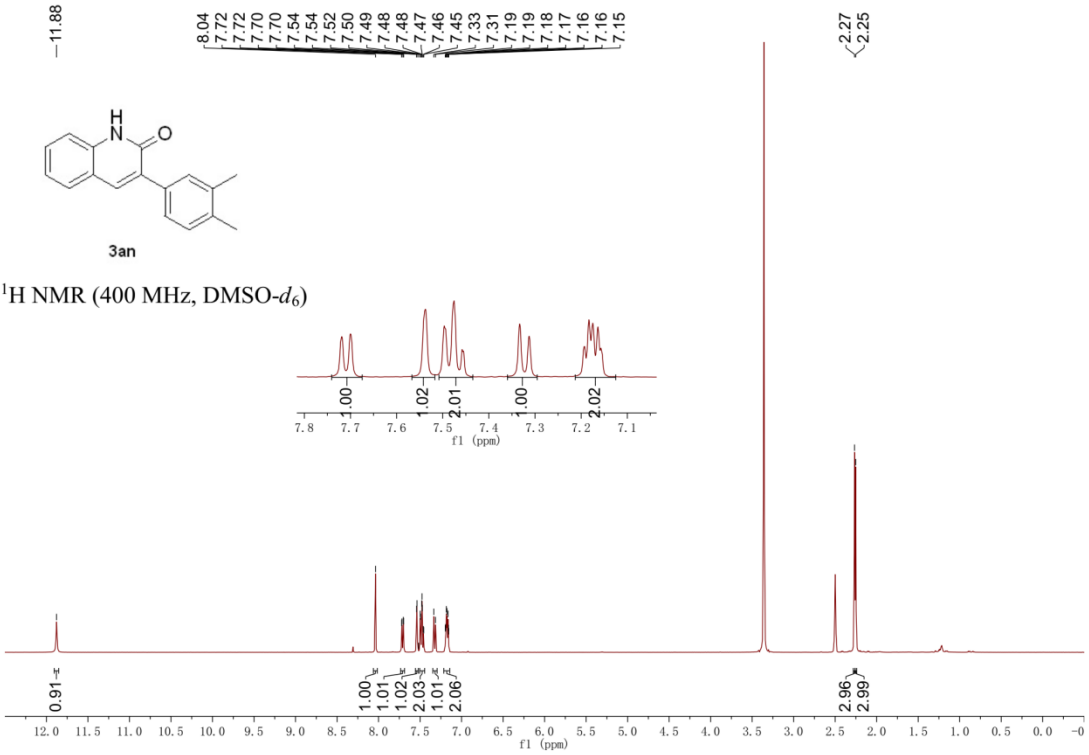

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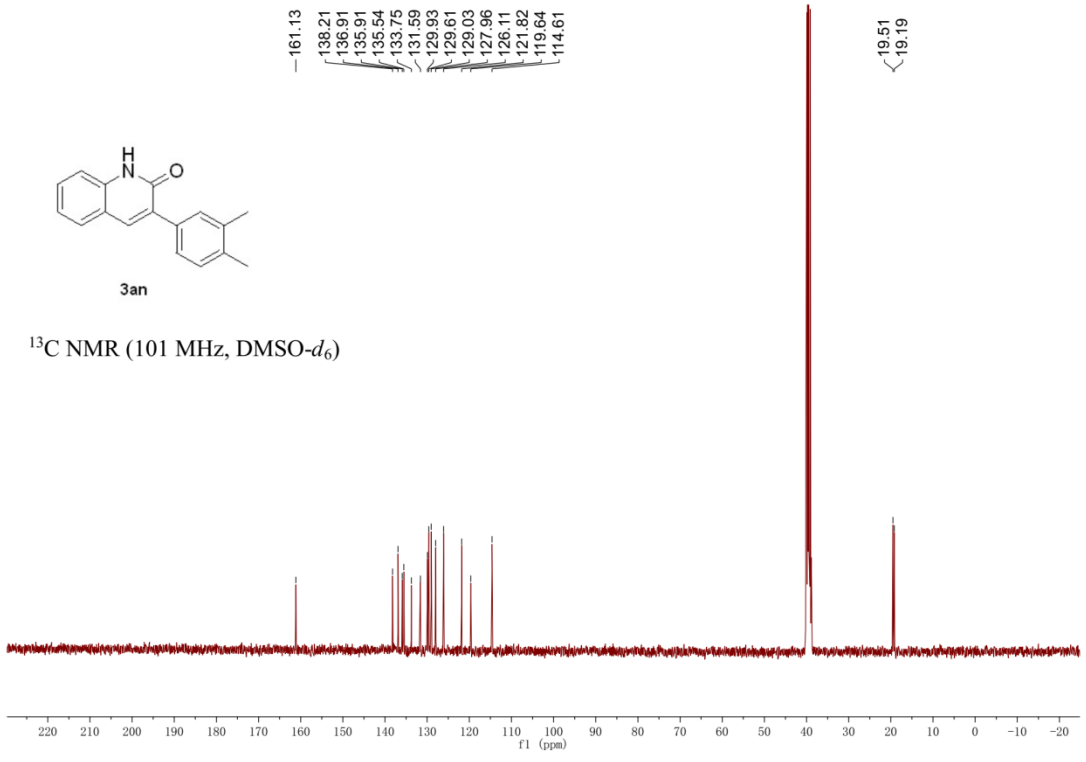

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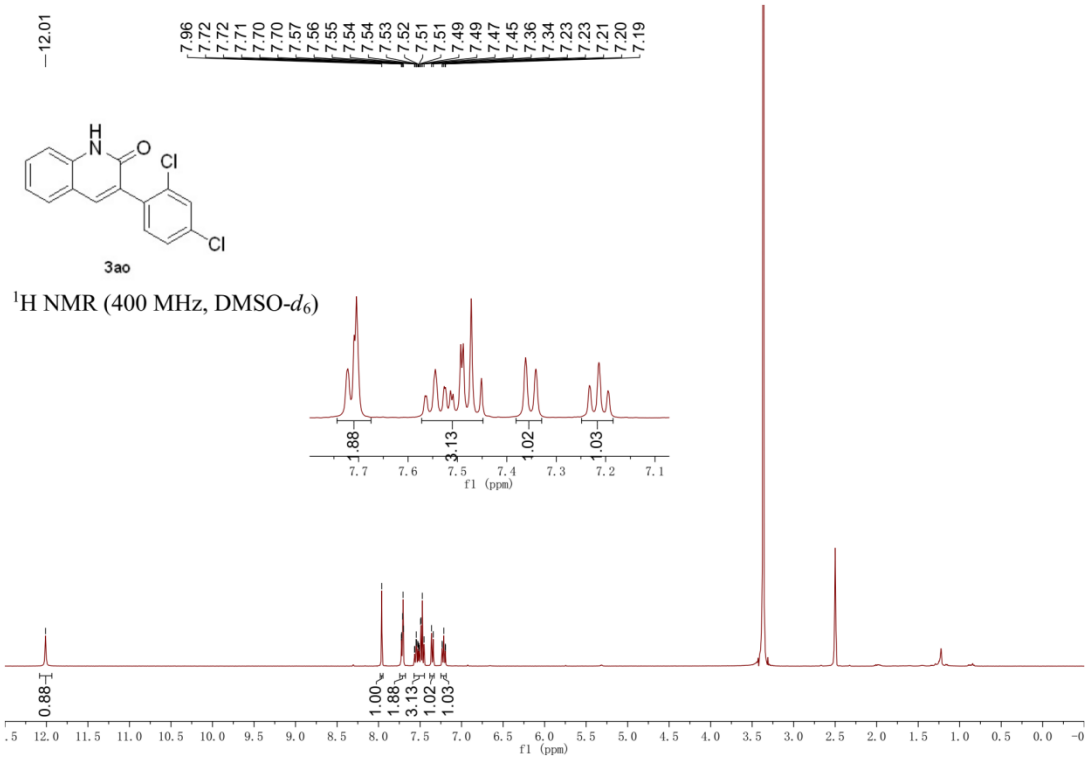

3ao

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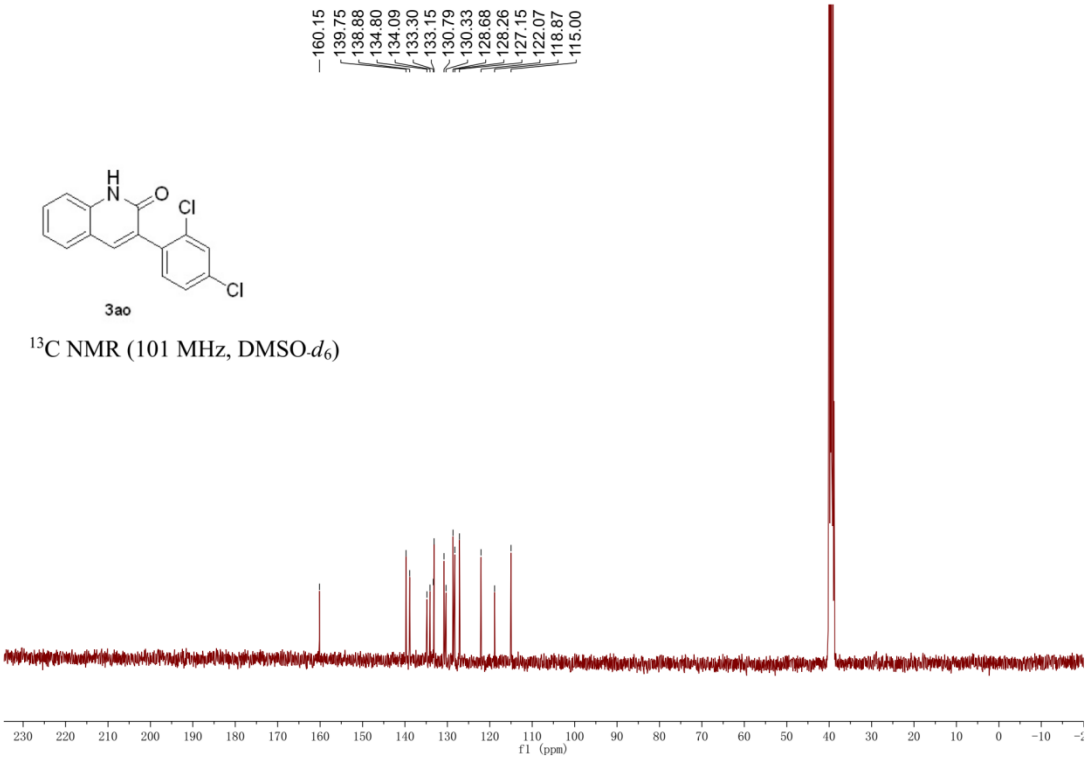

3ao

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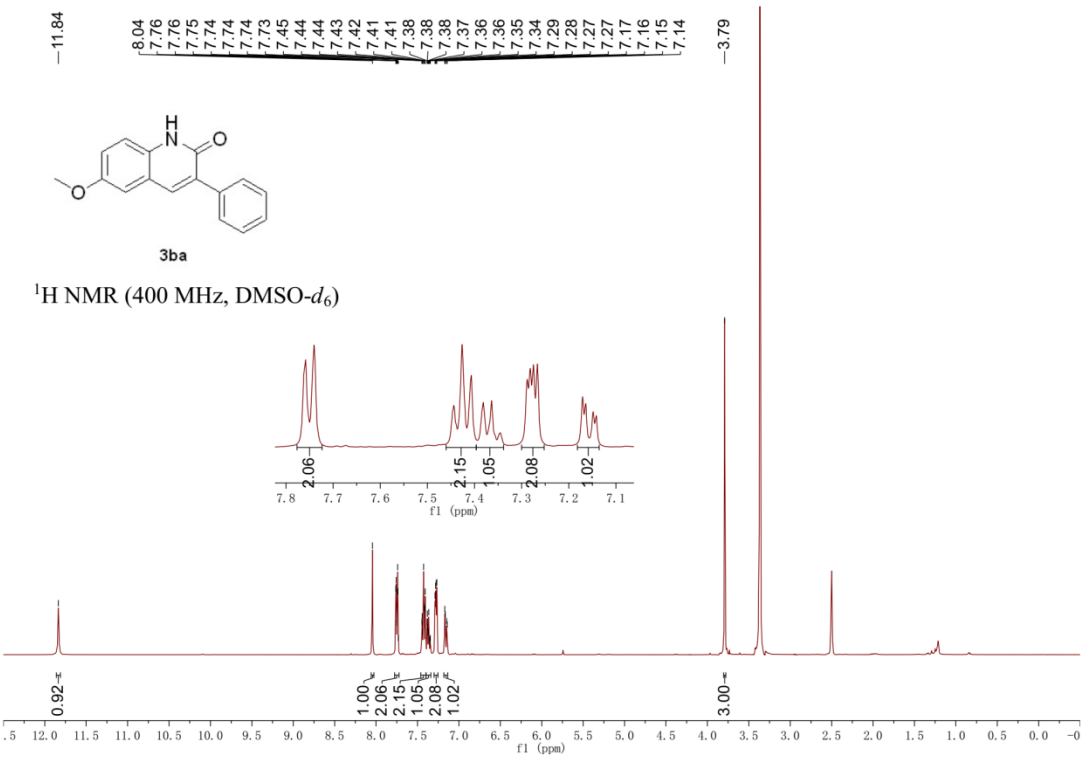
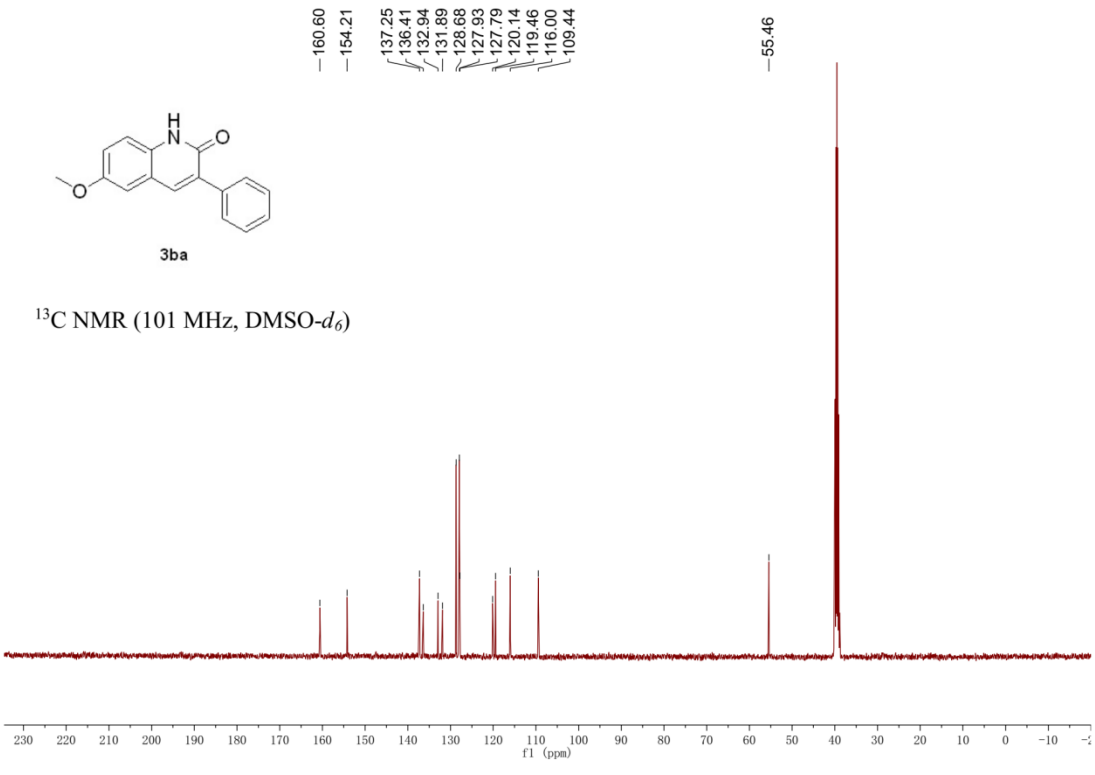


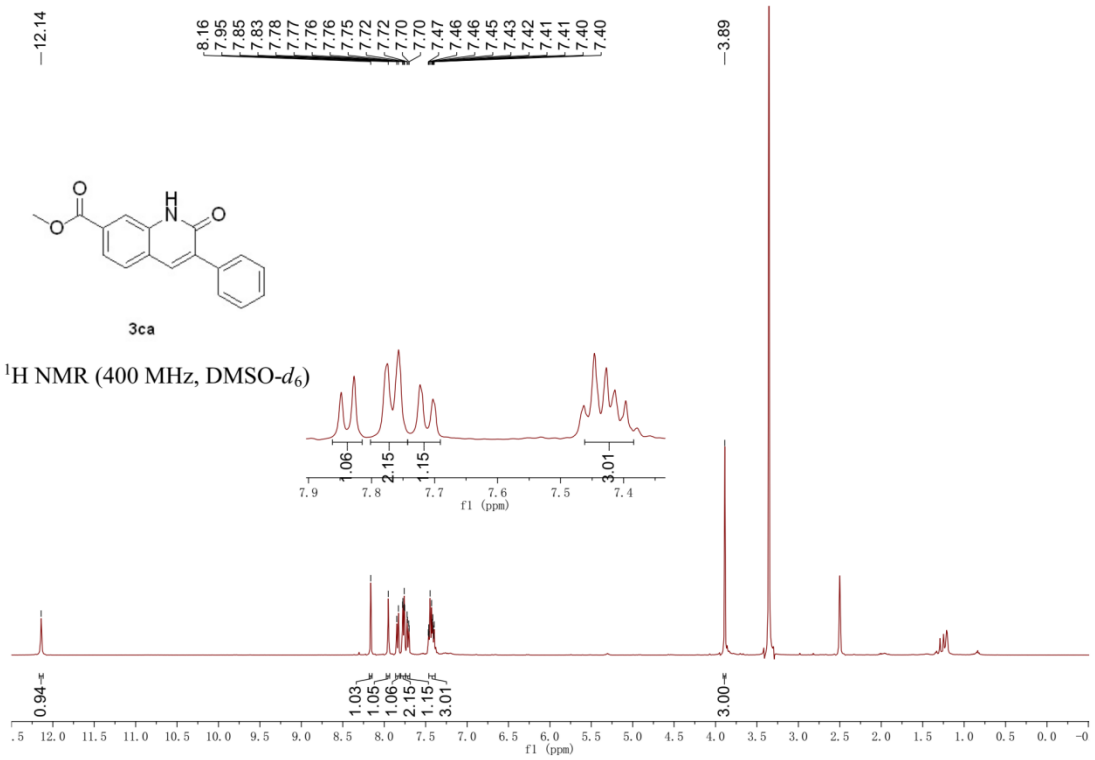
3ba

^{1}H NMR (400 MHz, DMSO-d_6)



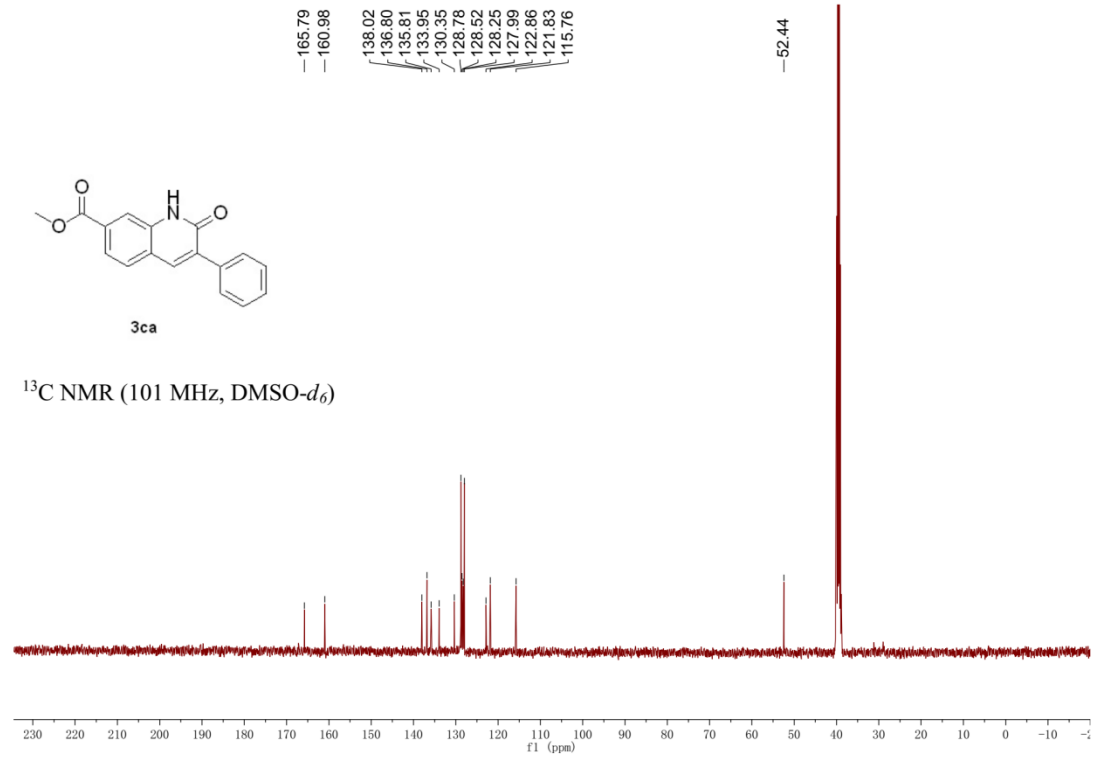
3ba

^{13}C NMR (101 MHz, DMSO-d_6)



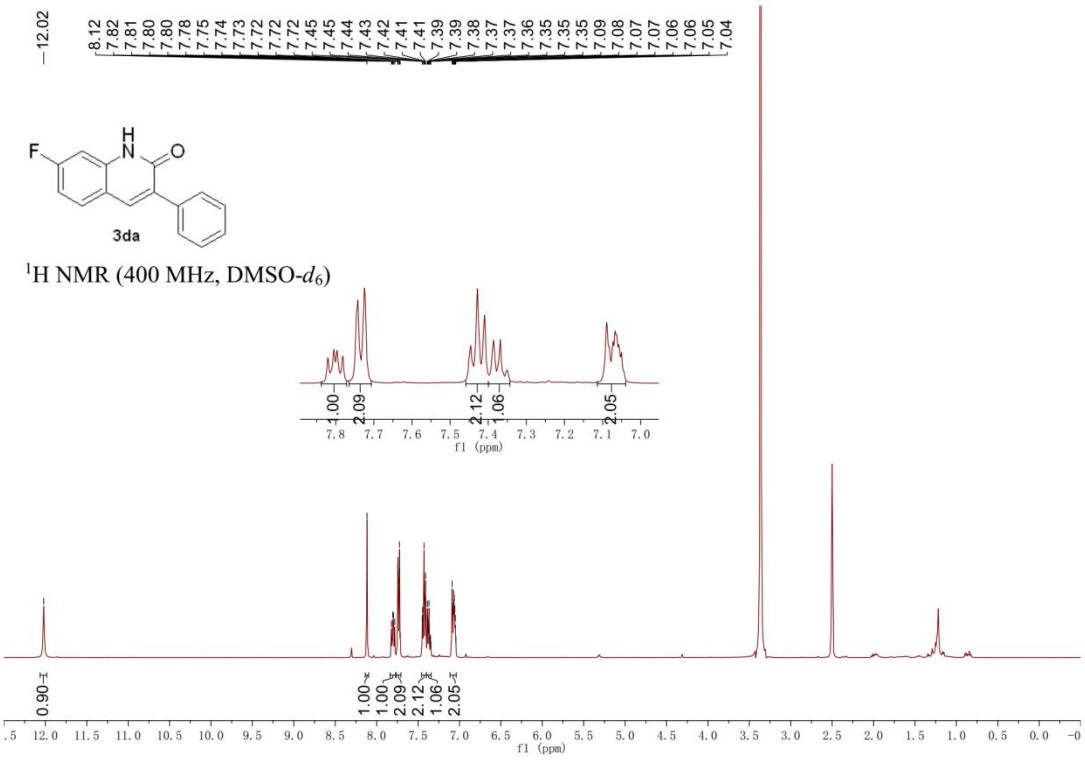
3ca

^{1}H NMR (400 MHz, DMSO-d_6)

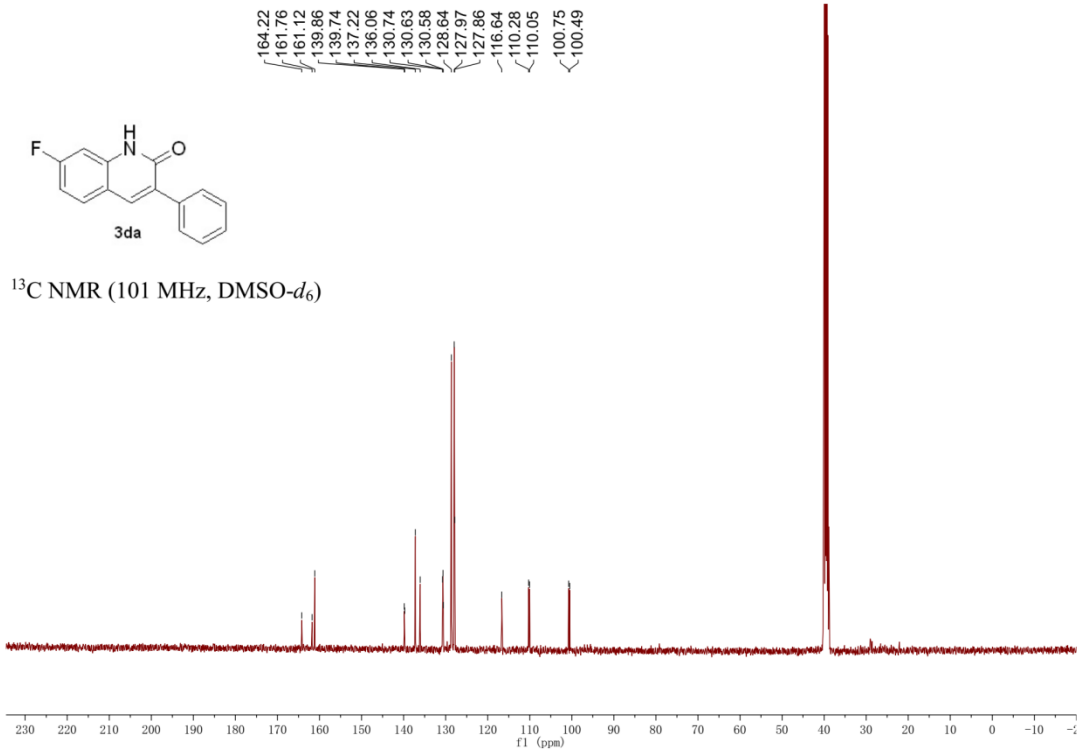


3ca

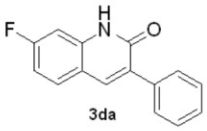
^{13}C NMR (101 MHz, DMSO-d_6)



^{1}H NMR (400 MHz, DMSO-d_6)

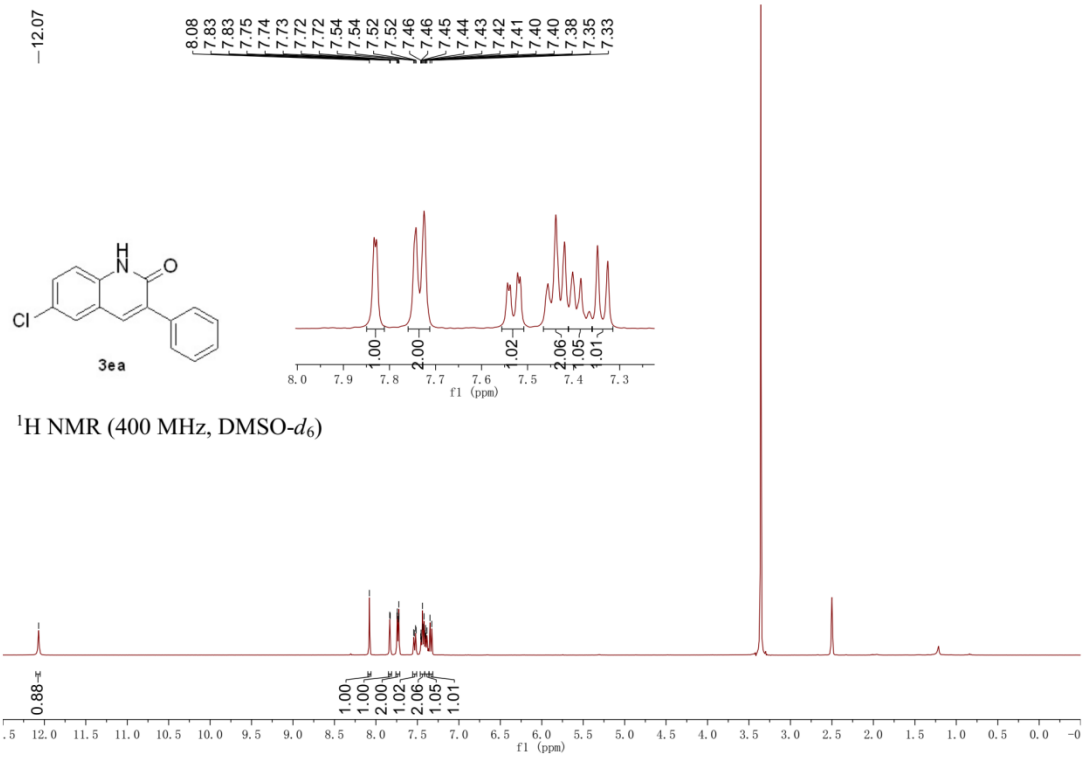


^{13}C NMR (101 MHz, DMSO-d_6)

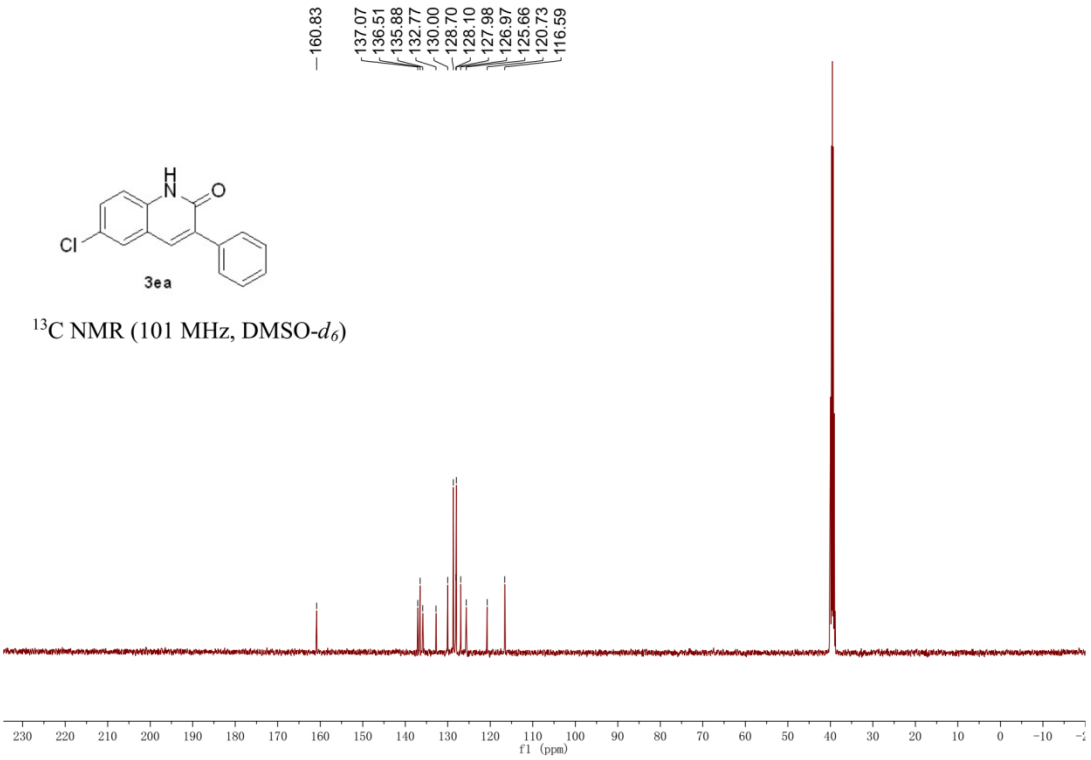


3da

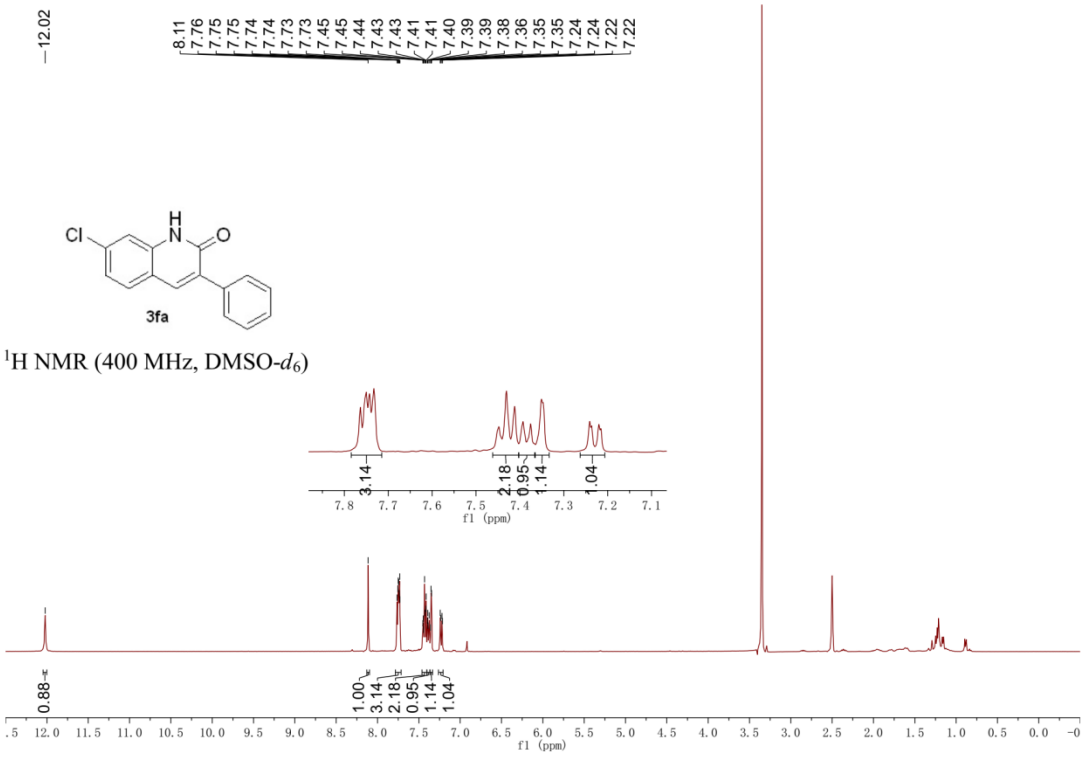
^{19}F NMR (376 MHz, DMSO)



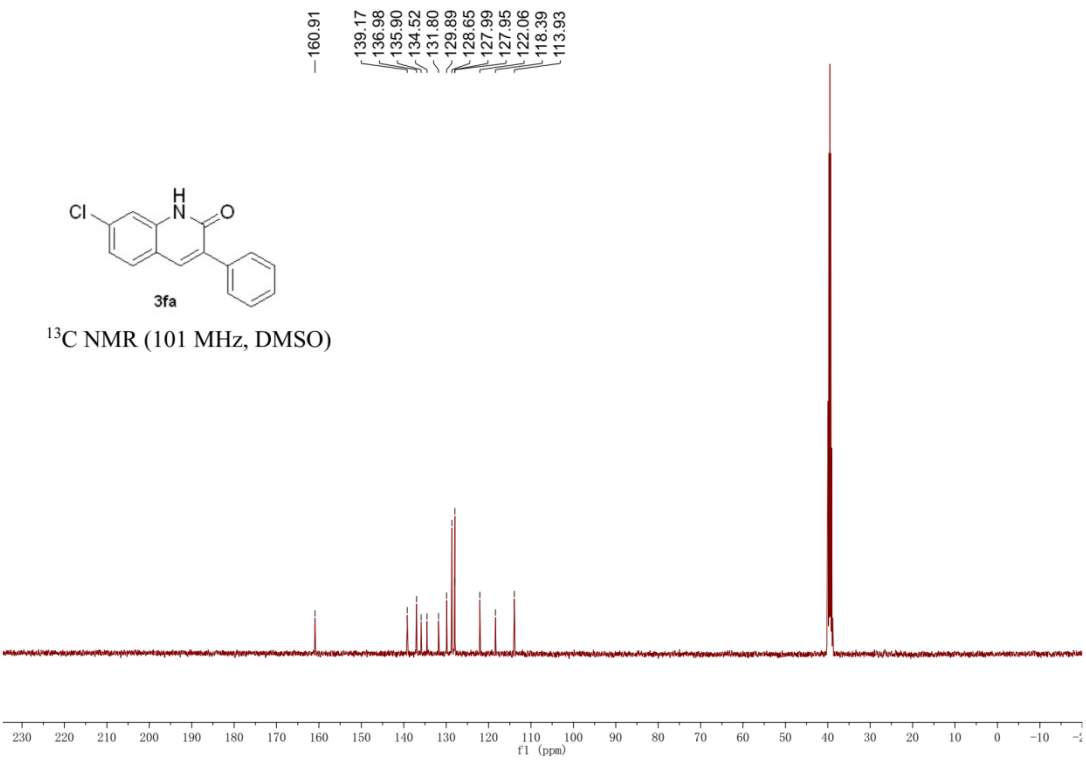
^{1}H NMR (400 MHz, DMSO-d_6)



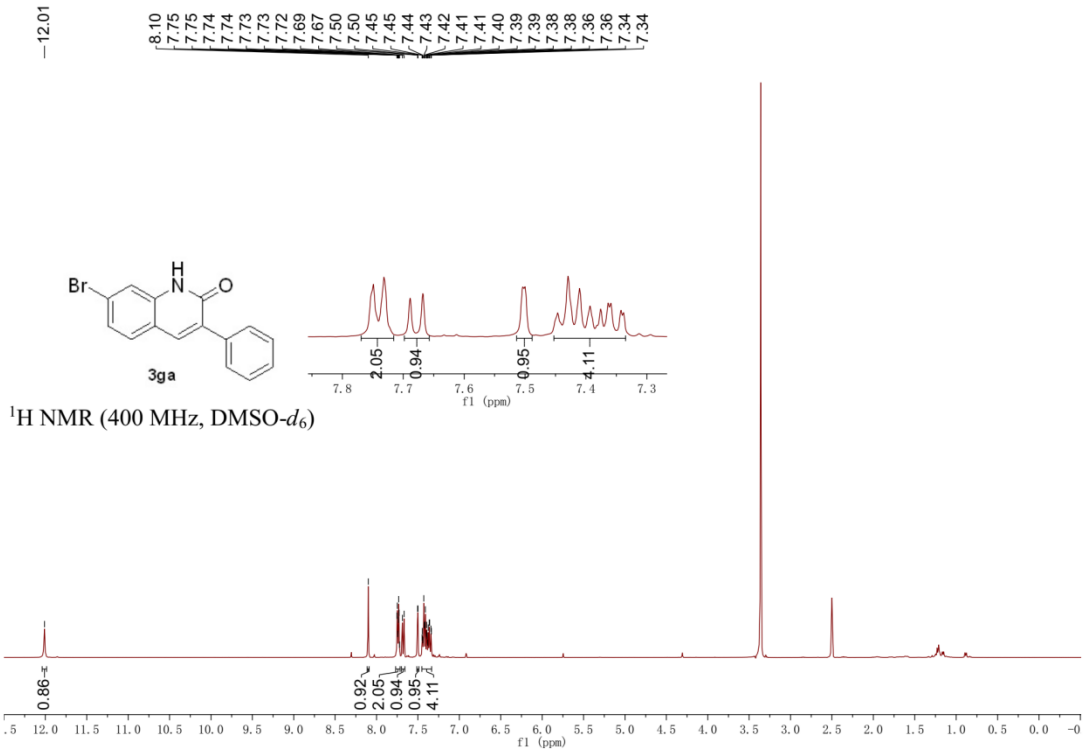
^{13}C NMR (101 MHz, DMSO-d_6)



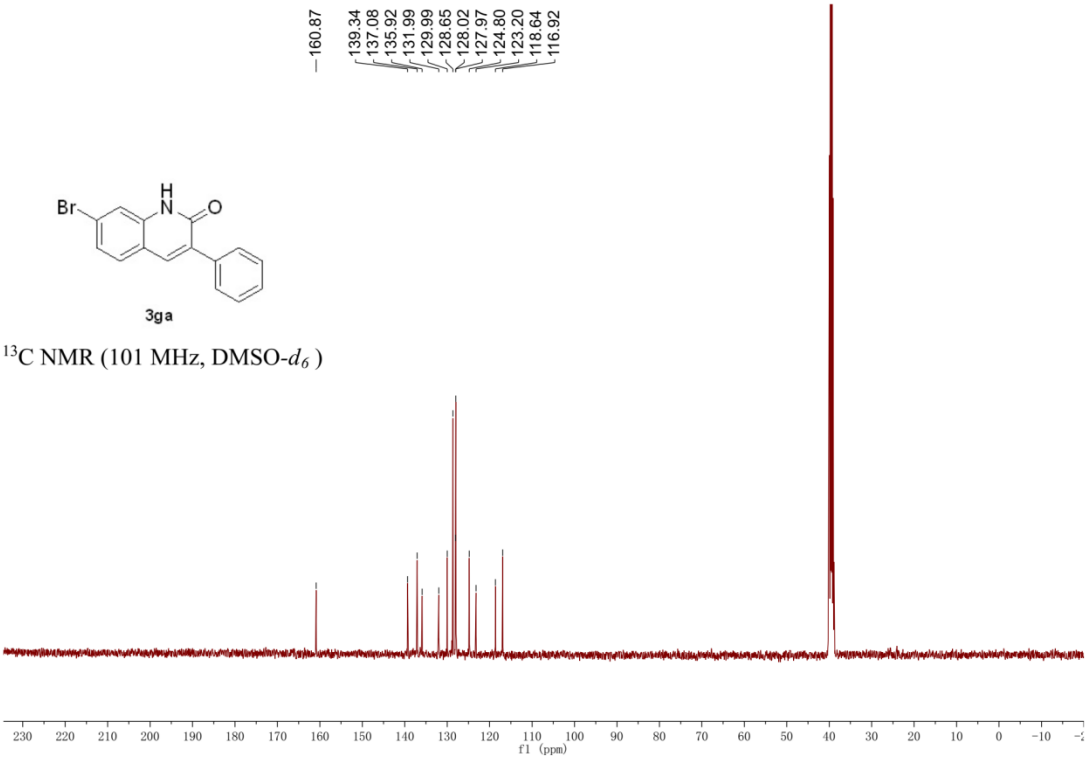
^{1}H NMR (400 MHz, DMSO-d_6)



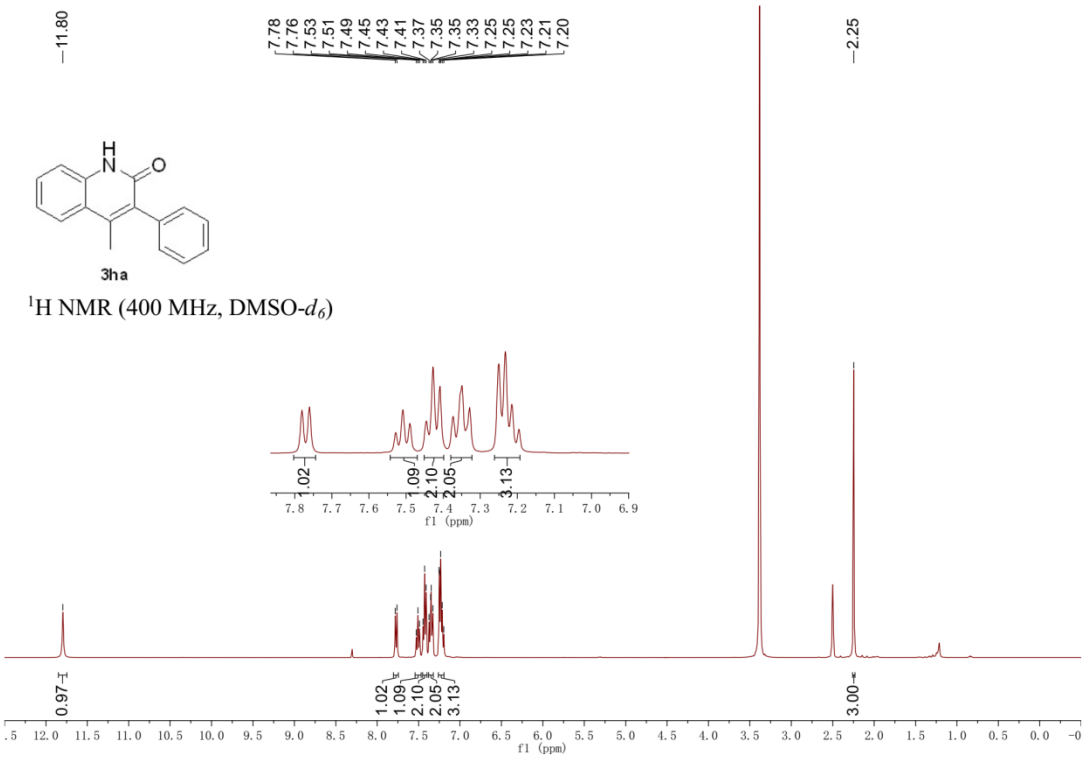
^{13}C NMR (101 MHz, DMSO)



^{1}H NMR (400 MHz, DMSO-d_6)

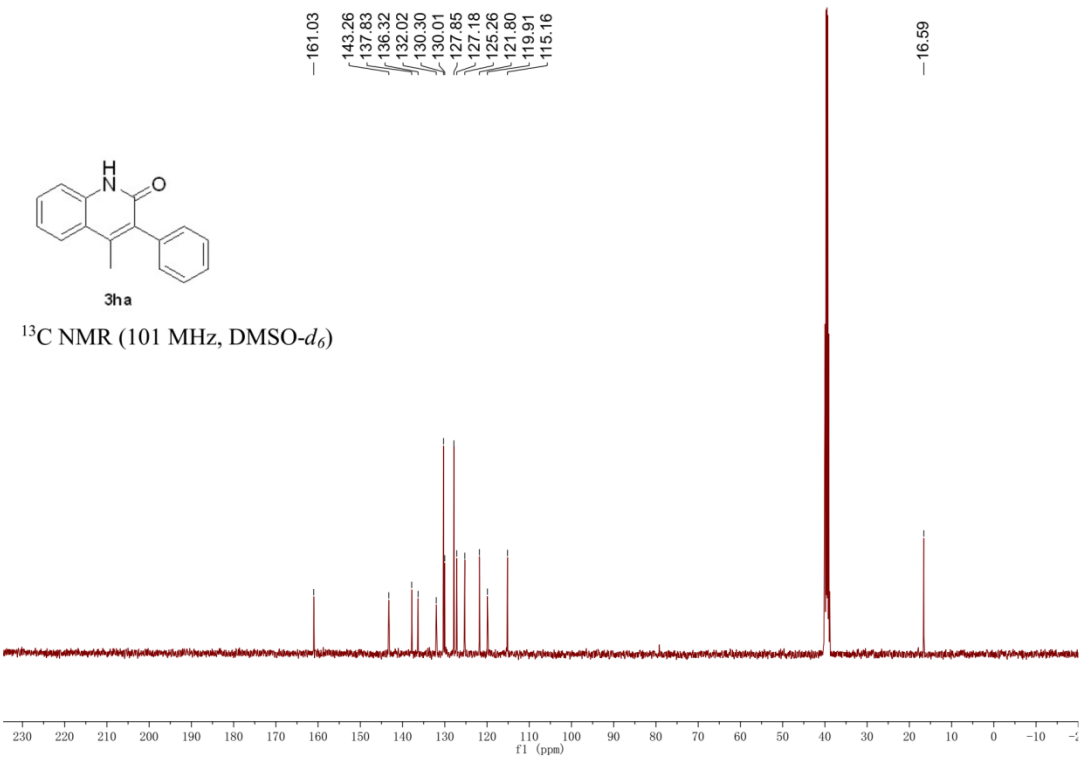


^{13}C NMR (101 MHz, DMSO-d_6)



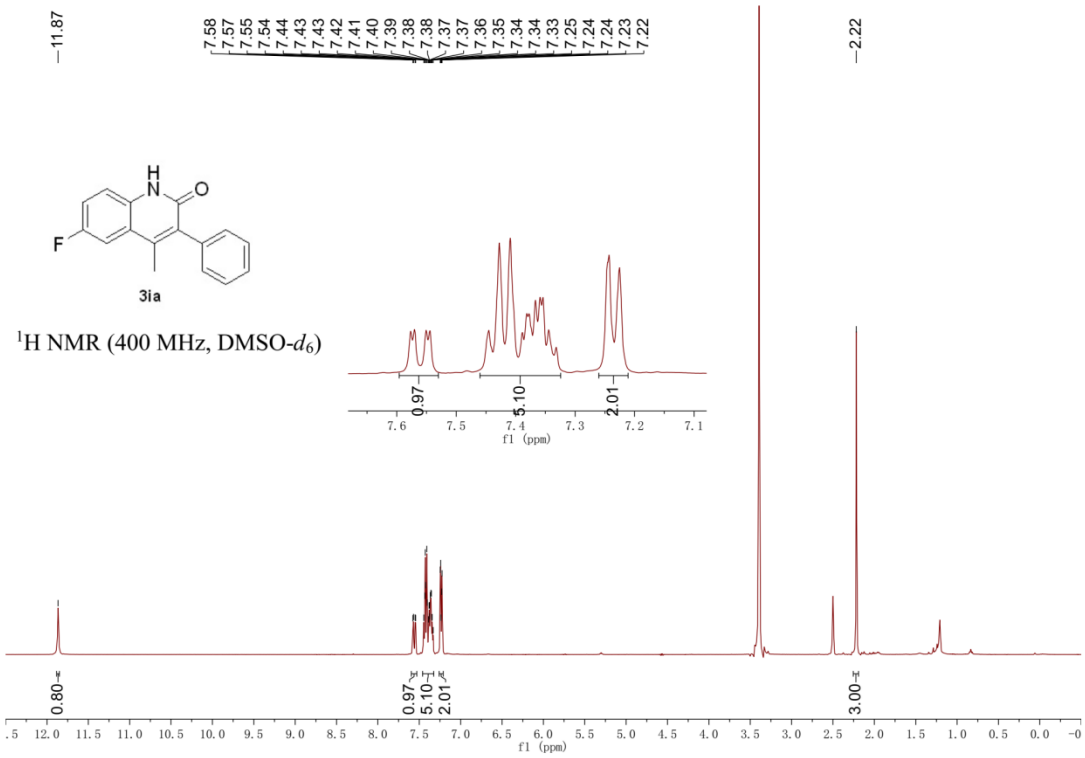
3ha

^{1}H NMR (400 MHz, DMSO-d_6)



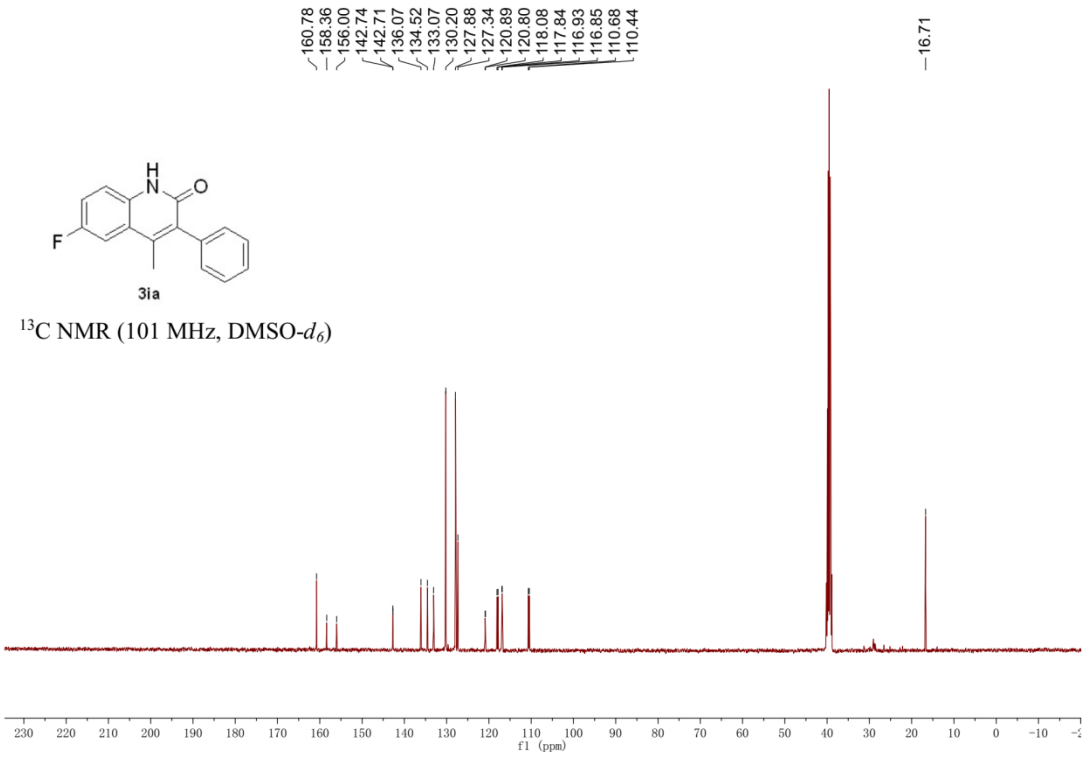
3ha

^{13}C NMR (101 MHz, DMSO-d_6)



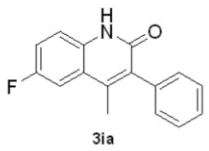
3ia

^{1}H NMR (400 MHz, DMSO-d_6)



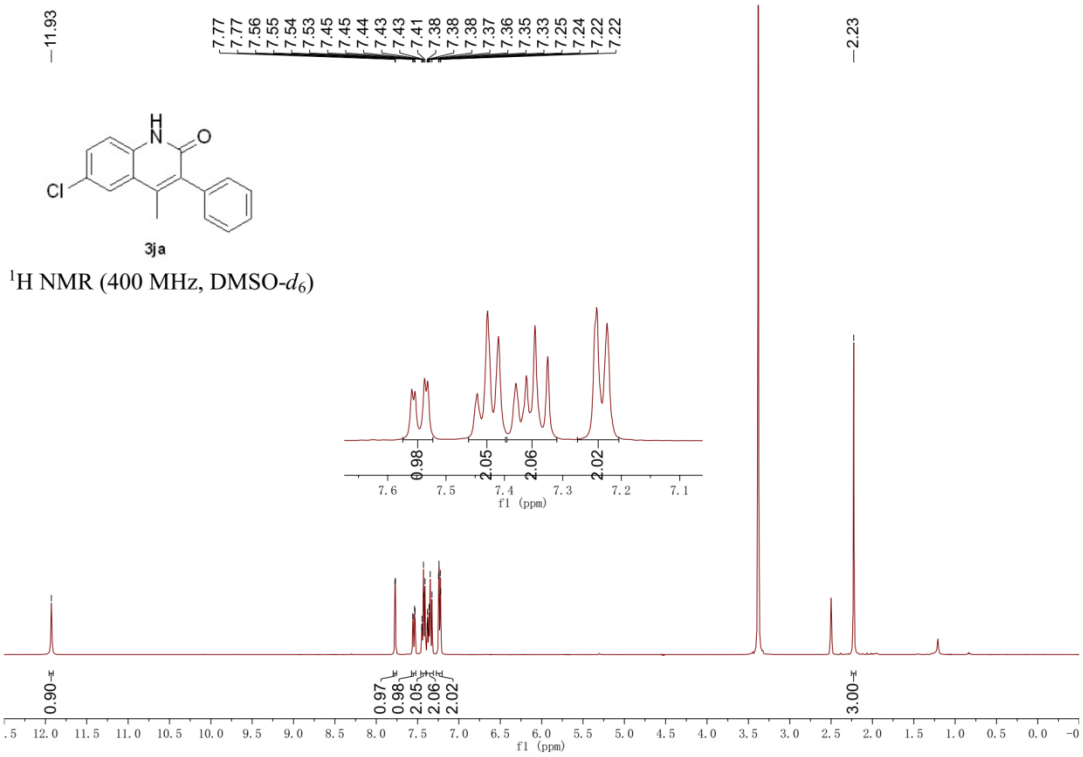
3ia

^{13}C NMR (101 MHz, DMSO-d_6)



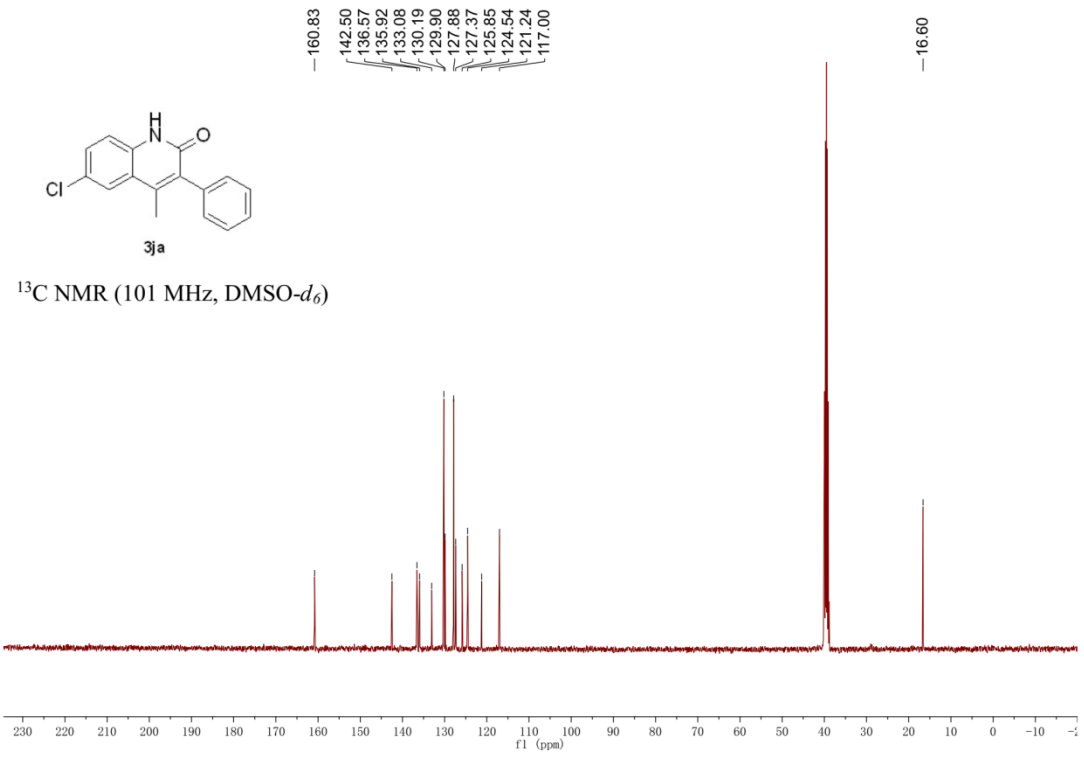
3ia

^{19}F NMR (376 MHz, DMSO)



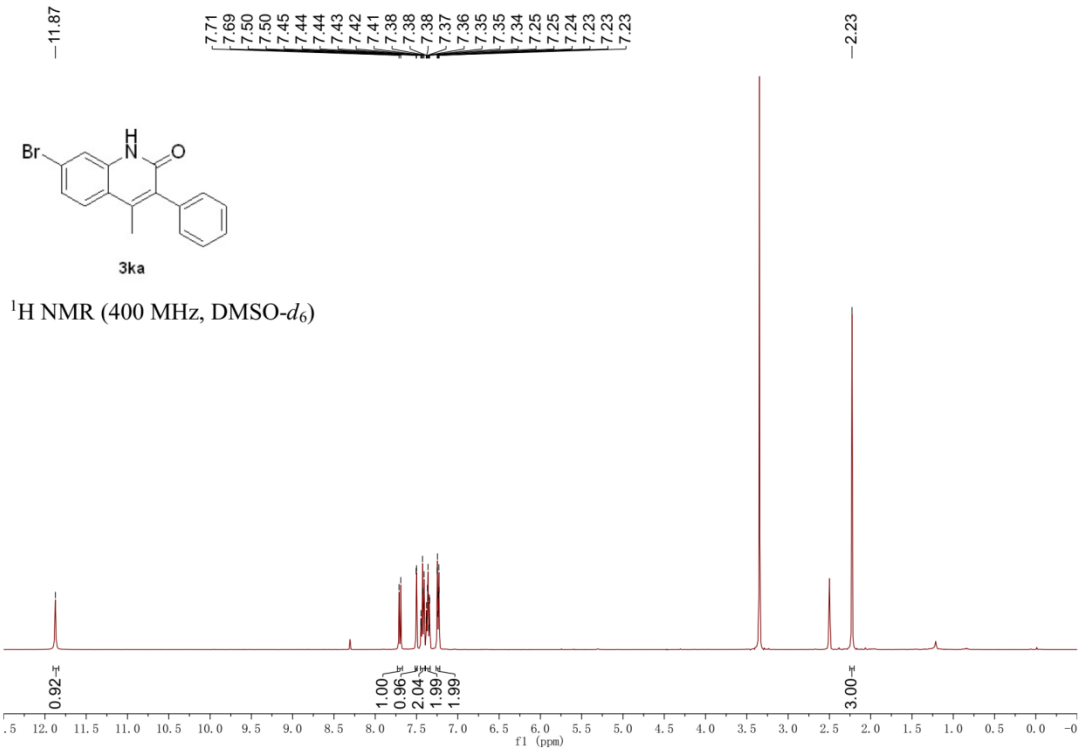
3ja

^{1}H NMR (400 MHz, DMSO-d_6)



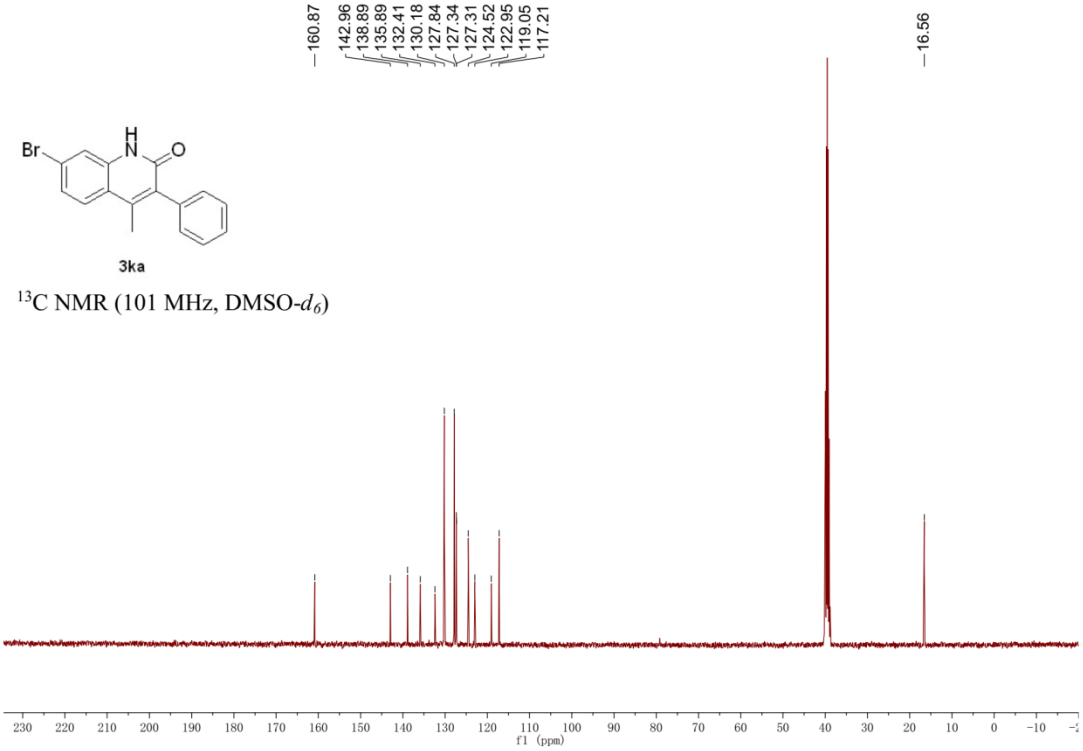
3ja

^{13}C NMR (101 MHz, DMSO-d_6)



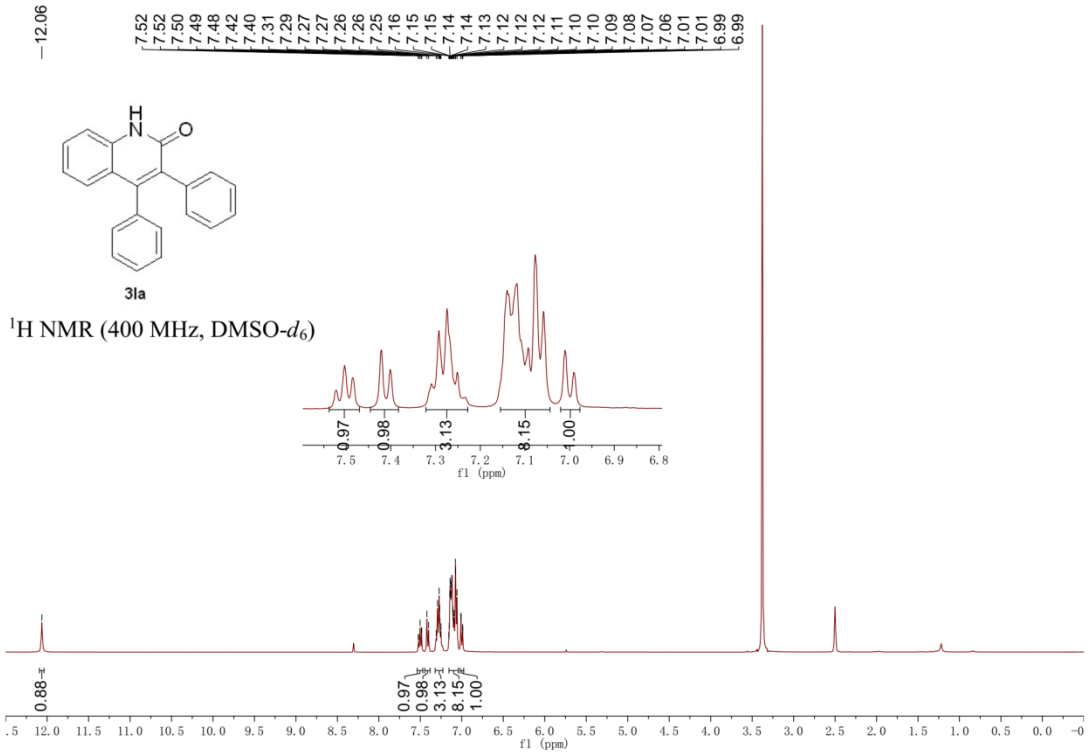
3ka

^{1}H NMR (400 MHz, DMSO-d_6)



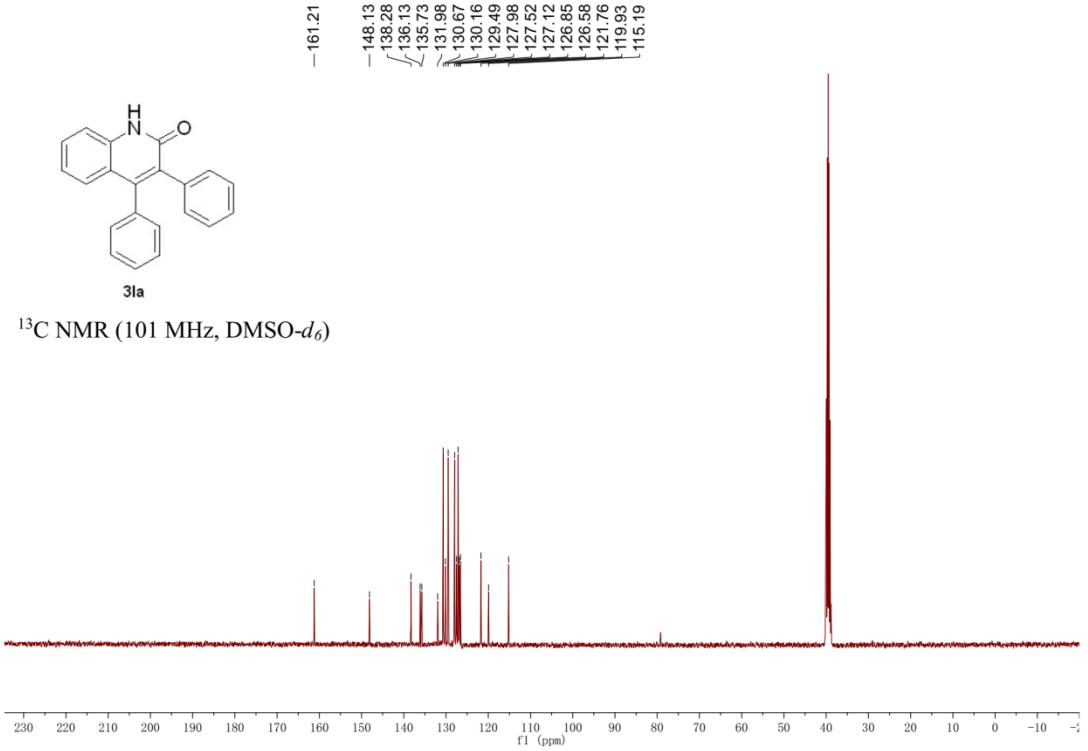
3ka

^{13}C NMR (101 MHz, DMSO-d_6)



3la

^{1}H NMR (400 MHz, DMSO-d_6)



3la

^{13}C NMR (101 MHz, DMSO-d_6)