

Supplementary Information

Tandem [2 + 4] Annulation/Aromatization Reaction of Yne–Enones with *o*-Sulfonamidobenzaldehydes

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General Information

All reactions were performed under argon atmosphere in oven-dried glassware with magnetic stirring. Unless otherwise stated, all reagents were purchased from commercial suppliers and used without further purification. All solvents were purified and dried according to standard methods prior to use. Organic solutions were concentrated under reduced pressure on a rotary evaporator or an oil pump. Reactions were monitored by thin layer chromatography (TLC) on silica gel precoated glass plates. Chromatograms were visualized by fluorescence quenching with UV light at 254 nm. Flash column chromatography was performed using flash silica gel (200–300 mesh). ^1H and $^{13}\text{C}\{^1\text{H}\}$ NMR spectra were recorded in CDCl_3 using 500 MHz NMR instrument (referenced internally to Me_4Si). ^1H NMR data are reported as follows: chemical shift, multiplicity (s = singlet; d = doublet; q = quartet; m = multiplet; br = broad), coupling constant (Hz), and integral. Data for $^{13}\text{C}\{^1\text{H}\}$ NMR spectra are reported in terms of chemical shift. Accurate mass measurements were performed using an instrument with the ESI-Linear ion trap-MS technique. X-ray crystallographic data were collected using a MM007HF Saturn724+.

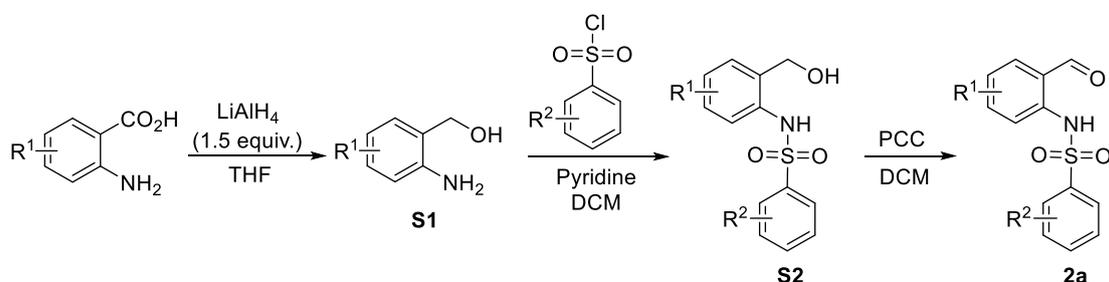
Preparation of Starting Materials

Preparation of Yne-enones **1**

The yne-enones **1** were prepared according to the method in literature.¹

Preparation of N-(2-formylphenyl)-4-methylbenzenesulfonamide **2**

The *o*-tosylamidobenzaldehydes **2** were prepared according to the method in literature.²



1. Poulsen, P. H.; Li, Y.; Lauridsen, V. H.; Jørgensen, D. K.; Palazzo, T. A.; Meazza, M.; Jørgensen, K. A. *Angew. Chem. Int. Ed.* **2018**, *57*, 10661–10665.

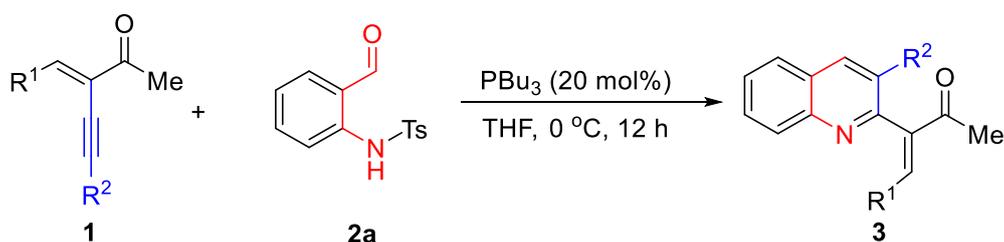
2. Zhang, S.; Zeng, T.; Huang, H.; Wang, Y.; Cao, W.; Surendra Babu, G.; Li, J.; Zhang, P.; Wang, M.; Xia, G. *Org. Lett.* **2025**, *27*, 4946–4951.

LiAlH₄ (45 mmol, 1.5 equiv.) was accurately weighed and placed into a dried 100 mL round-bottom flask under an argon atmosphere (achieved by purging and refilling with argon). Anhydrous THF (50 mL) was added, and the reaction system was cooled to 0 °C. A solution of variously substituted anthranilic acid (30 mmol, 1.0 equiv.) in anhydrous THF (20 mL) was slowly added dropwise to the reaction mixture. The system was then warmed to room temperature and stirred for 2 h. The reaction progress was monitored by TLC. After completion, the mixture was quenched with saturated NH₄Cl solution, filtered, and extracted with EA. The organic phase was collected, dried over anhydrous Na₂SO₄, and concentrated under reduced pressure. Purification by silica gel column chromatography using PE/EA (6:1, v/v) as eluent afforded the corresponding product **S1**.

S1 (10 mmol, 1.0 equiv.) was accurately weighed and dissolved in DCM (25 mL) in a 100 mL of round-bottom flask. Pyridine (12 mmol, 1.2 equiv.) and substituted benzenesulfonyl chloride (12 mmol, 1.2 equiv.) were added. The mixture was stirred at room temperature for 12 h. The reaction progress was monitored by TLC. The reaction was quenched with saturated NH₄Cl solution and extracted with EA. The organic phase was collected, dried over anhydrous Na₂SO₄, and used directly in the next step without further purification to give intermediate **S2**.

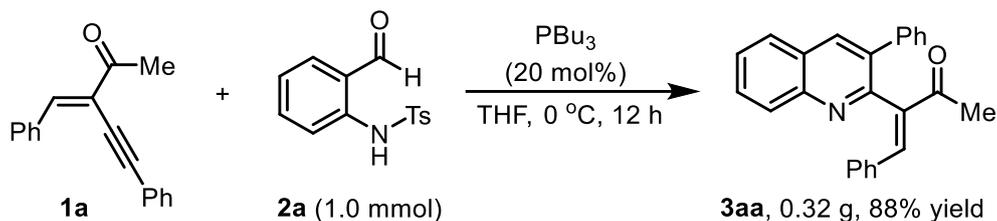
S2 was dissolved in DCM (25 mL) in a 100 mL of round-bottom flask. Pyridinium chlorochromate (PCC, 12 mmol, 1.2 equiv.) was added, and the mixture was stirred at room temperature for 2 h. The reaction progress was monitored by TLC. Upon completion, the mixture was filtered through Celite and extracted with DCM. The organic phase was collected, dried over anhydrous Na₂SO₄, and purified by silica gel column chromatography using PE/EA (7:1, v/v) as eluent to afford the desired product **2a**.

General Procedure for Phosphine -Catalyzed [2 + 4] Annulation



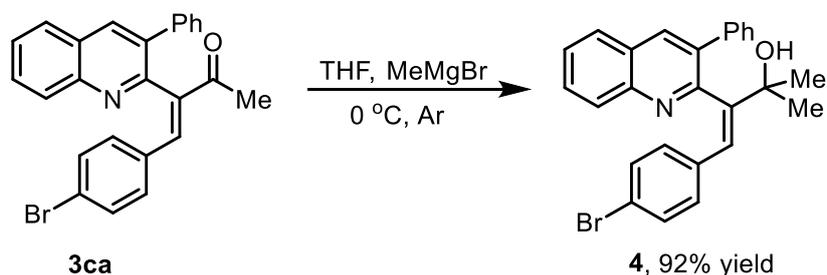
Under argon atmosphere, to a mixture of **1a** (0.13 mmol), **2a** (0.10 mmol), catalyst PBu_3 (20 mol%) in an oven-dried Schlenk tube was added 1 mL of THF at 0 °C. The resulting mixture was stirred until the starting material was completely consumed (monitored by TLC) and then was concentrated to dryness. The residue was purified through flash column chromatography (PE/EA) to give the corresponding products **3**.

General Procedure for Scale-up Reaction



An oven-dried 100 mL of Schlenk tube was charged with yne-enone **1a** (1.3 mmol), N-(2-formylphenyl)-4-methylbenzenesulfonamide **2a** (1.0 mmol), 10 mL of THF and PBu_3 (20 mmol %, 50 μL) under argon atmosphere at 0 °C. The resulting mixture was stirred at 0 °C. Once the starting material **2a** was completely consumed, the mixture was concentrated to dryness. The residue was purified through flash column chromatography (PE/EA) to give the corresponding product **3aa**.

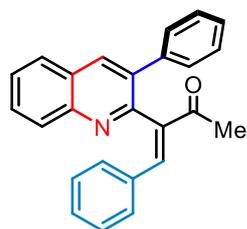
Further Transformation of **3ca**



To a solution of **3ca** in THF at 0 °C under an argon atmosphere was added dropwise MeMgBr (0.8 mmol, 1.2 equiv.). The mixture was stirred at 0 °C and monitored by TLC. After completion of the reaction, the mixture was concentrated under reduced pressure and purified by silica gel column chromatography to afford product **4** (81.5 mg, 92% yield).

Characterization Data of All Products

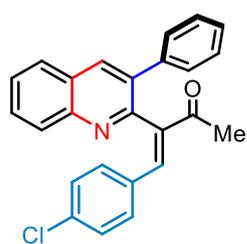
(E)-4-phenyl-3-(3-phenylquinolin-2-yl)but-3-en-2-one (3aa)



3aa

Prepared according to the general procedure as described above in 89 % yield (31.1 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ^1H NMR (500 MHz, CDCl_3) δ 8.09 (d, $J = 8.5$ Hz, 1H), 8.02 (s, 1H), 7.82 (d, $J = 8.1$ Hz, 1H), 7.68 (t, $J = 7.7$ Hz, 1H), 7.55 (d, $J = 7.0$ Hz, 2H), 7.18 (d, $J = 1.8$ Hz, 1H), 7.13 (dt, $J = 8.5, 4.2$ Hz, 3H), 7.03 – 6.97 (m, 2H), 6.97 – 6.92 (m, 2H), 6.75 (d, $J = 7.7$ Hz, 2H), 2.23 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 197.3, 155.1, 146.5, 140.6, 139.3, 137.6, 136.0, 134.8, 133.3, 129.3, 128.6, 128.49, 128.45, 127.8, 127.3, 126.9, 126.7, 126.6, 126.5, 126.2, 26.3. HRMS (ESI) calculated for $\text{C}_{25}\text{H}_{20}\text{NO}^+$ $[\text{M} + \text{H}]^+$ 350.1539, found 350.1534.

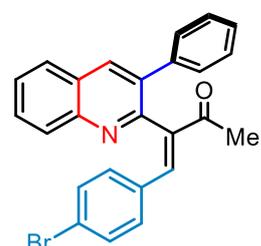
(E)-4-(4-chlorophenyl)-3-(3-phenylquinolin-2-yl)but-3-en-2-one (3ba)



3ba

Prepared according to the general procedure as described above in 83 % yield (31.8 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 168–169 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.08 (d, $J = 8.5$ Hz, 1H), 8.04 (s, 1H), 7.82 (dd, $J = 8.2, 1.4$ Hz, 1H), 7.67 – 7.71 (m, 1H), 7.53 – 7.57 (m, 1H), 7.48 (s, 1H), 7.22 – 7.09 (m, 3H), 7.01 – 6.91 (m, 4H), 6.73 – 6.63 (m, 2H), 2.22 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 197.1, 154.6, 146.5, 139.7, 138.9, 137.4, 136.2, 134.6, 134.5, 131.9, 130.4, 128.8, 128.4, 127.8, 127.6, 127.1, 126.8, 126.6, 126.5, 126.4, 26.4. HRMS (ESI) calculated for $\text{C}_{25}\text{H}_{19}\text{ClNO}^+$ $[\text{M} + \text{H}]^+$ 384.1150, found 384.1148.

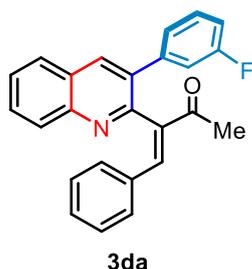
(E)-4-(4-bromophenyl)-3-(3-phenylquinolin-2-yl)but-3-en-2-one (3ca)



3ca

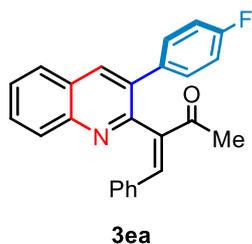
Prepared according to the general procedure as described above in 82% yield (35.0 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 180–181 °C; ^1H NMR (500 MHz, Chloroform-d) δ 8.07 (d, $J = 8.5$ Hz, 1H), 8.03 (s, 1H), 7.82 (d, $J = 8.1$ Hz, 1H), 7.72 – 7.63 (m, 1H), 7.58 – 7.52 (m, 1H), 7.45 (s, 1H), 7.20 – 7.17 (m, 1H), 7.14 (dd, $J = 15.4, 7.9$ Hz, 4H), 6.95 (d, $J = 7.2$ Hz, 2H), 6.60 (d, $J = 8.3$ Hz, 2H), 2.22 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 197.1, 154.6, 146.5, 139.8, 138.9, 137.4, 136.2, 134.6, 132.3, 130.6, 130.5, 128.8, 128.4, 127.8, 127.1, 126.8, 126.6, 126.5, 126.4, 122.9, 26.4. HRMS (ESI) calculated for $\text{C}_{25}\text{H}_{19}\text{BrNO}^+$ $[\text{M} + \text{H}]^+$ 428.0645, found 428.0639.

(E)-3-(3-(3-fluorophenyl)quinolin-2-yl)-4-phenylbut-3-en-2-one (3da)



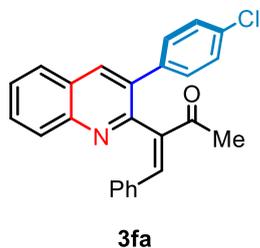
Prepared according to the general procedure as described above in 70% yield (25.7 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ^1H NMR (500 MHz, CDCl_3) δ 8.09 (d, $J = 8.5$ Hz, 1H), 7.99 (s, 1H), 7.82 (dd, $J = 8.2, 1.5$ Hz, 1H), 7.69 – 7.72 (m, 1H), 7.60 – 7.50 (m, 2H), 7.16 – 7.05 (m, 2H), 7.00 (t, $J = 7.7$ Hz, 2H), 6.91 – 6.83 (m, 1H), 6.75 – 6.70 (m, 3H), 6.56 (dt, $J = 9.7, 2.0$ Hz, 1H), 2.30 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 197.4, 161.2 (d, $J = 246.4$ Hz), 150.7 (d, $J = 1015.6$ Hz), 140.9, 139.8 (d, $J = 7.9$ Hz), 139.1, 136.1, 133.5 (d, $J = 2.1$ Hz), 133.2, 129.1, 128.9, 128.6, 128.5, 128.4, 128.3, 127.4, 126.8, 126.4, 123.6 (d, $J = 2.9$ Hz), 114.8 (d, $J = 22.1$ Hz), 113.4 (d, $J = 21.1$ Hz), 26.2. HRMS (ESI) calculated for $\text{C}_{25}\text{H}_{19}\text{FNO}^+$ [$\text{M} + \text{H}$] $^+$ 368.1445, found 368.1444.

(E)-3-(3-(4-fluorophenyl)quinolin-2-yl)-4-phenylbut-3-en-2-one (3ea)



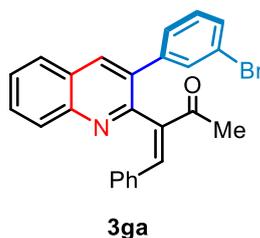
Prepared according to the general procedure as described above in 85% yield (31.2 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 110–111 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.09 (d, $J = 8.5$ Hz, 1H), 7.98 (s, 1H), 7.81 (dd, $J = 8.2, 1.5$ Hz, 1H), 7.68 – 7.71 (m, 1H), 7.58 – 7.52 (m, 2H), 7.16 – 7.10 (m, 1H), 7.01 (t, $J = 7.8$ Hz, 2H), 6.85 – 6.88 (m, 2H), 6.84 – 6.77 (m, 2H), 6.76 – 6.71 (m, 2H), 2.28 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 197.5, 161.3 (d, $J = 247.3$ Hz), 150.8 (d, $J = 1065.3$ Hz), 140.7, 139.2, 136.0, 133.8, 133.6 (d, $J = 3.3$ Hz), 133.2, 129.5, 129.4, 129.2, 128.7, 128.6, 128.5, 127.4, 126.7, 126.5, 126.3, 113.9 (d, $J = 21.5$ Hz), 26.2. HRMS (ESI) calculated for $\text{C}_{25}\text{H}_{19}\text{FNO}^+$ [$\text{M} + \text{H}$] $^+$ 368.1445, found 368.1440.

(E)-3-(3-(4-chlorophenyl)quinolin-2-yl)-4-phenylbut-3-en-2-one (3fa)



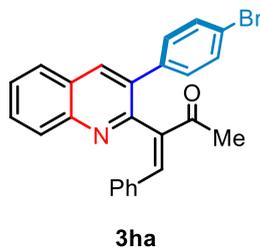
Prepared according to the general procedure as described above in 78% yield (29.9 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ^1H NMR (500 MHz, CDCl_3) δ 8.11 (d, $J = 8.5$ Hz, 1H), 7.96 (s, 1H), 7.81 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.69 – 7.72 (m, 1H), 7.59 – 7.53 (m, 2H), 7.32 – 7.24 (m, 1H), 7.17 – 7.10 (m, 1H), 7.01 (q, $J = 8.0$ Hz, 3H), 6.91 – 6.81 (m, 2H), 6.75 – 6.66 (m, 2H), 2.32 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 197.5, 154.7, 146.6, 140.7, 139.7, 139.2, 136.0, 133.3, 133.2, 130.7, 129.4, 128.9, 128.6, 128.5, 128.2, 127.4, 126.8, 126.4, 126.3, 120.9, 26.3. HRMS (ESI) calculated for $\text{C}_{25}\text{H}_{19}\text{ClNO}^+$ [$\text{M} + \text{H}$] $^+$ 384.1150, found 384.1150.

(E)-3-(3-(3-bromophenyl)quinolin-2-yl)-4-phenylbut-3-en-2-one (3ga)



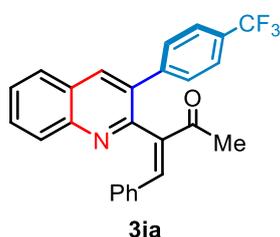
Prepared according to the general procedure as described above in 67% yield (28.6 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a White solid; Melting point: 104–105 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.09 (d, *J* = 8.4 Hz, 1H), 7.97 (s, 1H), 7.84 – 7.78 (m, 1H), 7.67 – 7.72 (m, 1H), 7.58 – 7.52 (m, 2H), 7.16 – 7.06 (m, 3H), 7.00 (t, *J* = 7.7 Hz, 2H), 6.90 – 6.81 (m, 2H), 6.75 – 6.66 (m, 2H), 2.29 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.5, 154.8, 146.6, 140.9, 139.2, 136.1, 136.0, 133.6, 133.2, 132.7, 129.2, 129.0, 128.8, 128.6, 128.5, 127.39, 127.36, 127.1, 126.7, 126.4, 126.3, 26.2. HRMS (ESI) calculated for C₂₅H₁₉BrNO⁺ [M + H]⁺ 428.0645, found 428.0643.

(E)-3-(3-(4-bromophenyl)quinolin-2-yl)-4-phenylbut-3-en-2-one (3ha)



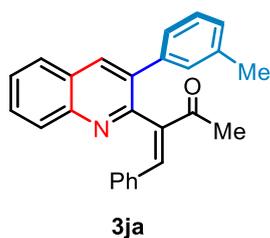
Prepared according to the general procedure as described above in 70% yield (29.9 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 124–123 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.13 – 8.06 (m, 1H), 7.97 (s, 1H), 7.85 – 7.79 (m, 1H), 7.67 – 7.72 (m, 1H), 7.59 – 7.52 (m, 2H), 7.27 – 7.22 (m, 2H), 7.13 (td, *J* = 7.3, 1.5 Hz, 1H), 7.01 (t, *J* = 7.8 Hz, 2H), 6.81 – 6.70 (m, 4H), 2.30 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.5, 154.7, 146.6, 140.9, 139.1, 136.6, 135.9, 133.6, 133.2, 130.1, 129.3, 129.2, 128.8, 128.6, 128.5, 127.4, 126.7, 126.38, 126.35, 120.9, 26.2. HRMS (ESI) calculated for C₂₅H₁₉BrNO⁺ [M + H]⁺ 428.0645, found 428.0643.

(E)-4-phenyl-3-(3-(4-(trifluoromethyl)phenyl)quinolin-2-yl)but-3-en-2-one (3ia)



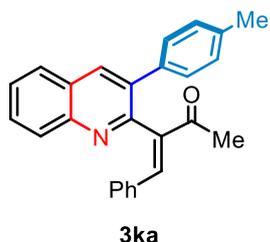
Prepared according to the general procedure as described above in 71 % yield (29.6 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a Brown solid; Melting point: 136–137 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.11 (d, *J* = 8.5 Hz, 1H), 7.99 (s, 1H), 7.82 (d, *J* = 8.1 Hz, 1H), 7.71 (t, *J* = 7.7 Hz, 1H), 7.56 (t, *J* = 7.5 Hz, 1H), 7.52 (s, 1H), 7.36 (d, *J* = 7.8 Hz, 2H), 7.13 (t, *J* = 7.5 Hz, 1H), 7.00 (dd, *J* = 7.5, 3.0 Hz, 4H), 6.68 (d, *J* = 7.7 Hz, 2H), 2.32 (d, *J* = 1.5 Hz, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.6, 154.5, 146.7, 141.3, 141.1, 139.0, 136.1, 133.4, 133.1, 129.08, 129.05, 128.7, 128.5, 128.4, 128.1, 127.4, 126.8, 126.5, 126.3, 123.78, 123.75, 26.2. HRMS (ESI) calculated for C₂₆H₁₉F₃NO⁺ [M + H]⁺ 418.1413, found 418.1416.

(E)-4-phenyl-3-(3-(m-tolyl)quinolin-2-yl)but-3-en-2-one (3ja)



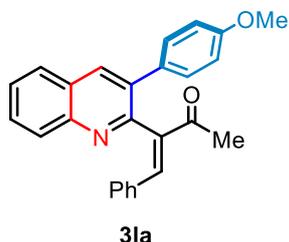
Prepared according to the general procedure as described above in 79 % yield (28.7 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ^1H NMR (500 MHz, CDCl_3) δ 8.09 (d, $J = 8.5$ Hz, 1H), 8.00 (s, 1H), 7.81 (dd, $J = 8.2, 1.5$ Hz, 1H), 7.65 – 7.70 (m, 1H), 7.57 – 7.50 (m, 2H), 7.15 – 7.09 (m, 1H), 7.05 – 6.96 (m, 4H), 6.80 – 6.72 (m, 3H), 6.63 (d, $J = 1.9$ Hz, 1H), 2.24 (s, 3H), 2.13 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 197.3, 155.2, 146.4, 140.4, 139.4, 137.5, 136.5, 135.9, 134.9, 133.5, 129.2, 128.6, 128.5, 128.43, 128.35, 127.23, 127.15, 126.7, 126.5, 126.1, 124.8, 26.4, 20.3. HRMS (ESI) calculated for $\text{C}_{26}\text{H}_{22}\text{NO}^+$ $[\text{M} + \text{H}]^+$ 364.1696, found 364.1700.

(E)-4-phenyl-3-(3-(p-tolyl)quinolin-2-yl)but-3-en-2-one (3ka)



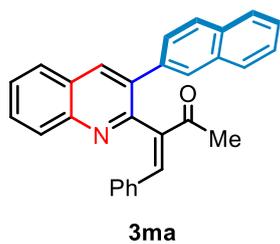
Prepared according to the general procedure as described above in 84% yield (30.5 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 108–109 °C; ^1H NMR (500 MHz, CDCl_3) δ 8.07 (d, $J = 8.4$ Hz, 1H), 8.01 (s, 1H), 7.81 (dd, $J = 8.2, 1.5$ Hz, 1H), 7.64 – 7.68 (m, 1H), 7.59 – 7.49 (m, 2H), 7.11 (d, $J = 7.5$ Hz, 1H), 7.00 (t, $J = 7.8$ Hz, 2H), 6.95 (d, $J = 7.8$ Hz, 2H), 6.90 – 6.85 (m, 2H), 6.81 – 6.72 (m, 2H), 2.24 (s, 3H), 2.20 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 197.3, 155.3, 146.4, 140.5, 139.3, 136.2, 136.0, 134.8, 134.6, 133.3, 129.4, 128.5, 128.4, 127.71, 127.66, 127.3, 126.7, 126.6, 126.1, 26.3, 20.1. HRMS (ESI) calculated for $\text{C}_{26}\text{H}_{22}\text{NO}^+$ $[\text{M} + \text{H}]^+$ 364.1696, found 364.1695.

(E)-3-(3-(4-methoxyphenyl)quinolin-2-yl)-4-phenylbut-3-en-2-one (3la)



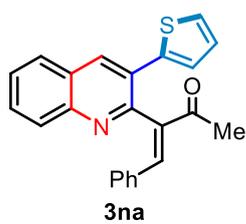
Prepared according to the general procedure as described above in 53% yield (20.1 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 108–109 °C; ^1H NMR (500 MHz, Chloroform-d) δ 8.14 (d, $J = 8.4$ Hz, 1H), 8.08 (s, 1H), 7.88 (dd, $J = 8.2, 1.4$ Hz, 1H), 7.71 – 7.75 (m, 1H), 7.58 – 7.62 (m, 1H), 7.23 – 7.15 (m, 1H), 7.08 (t, $J = 7.8$ Hz, 2H), 6.98 (d, $J = 8.6$ Hz, 2H), 6.90 – 6.83 (m, 2H), 6.80 – 6.70 (m, 2H), 3.79 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 198.3, 159.2, 156.4, 147.4, 141.4, 140.4, 136.9, 135.5, 134.4, 130.4, 130.0, 129.52, 129.46, 128.3, 127.69, 127.67, 127.2, 113.5, 55.3, 27.4. HRMS (ESI) calculated for $\text{C}_{26}\text{H}_{22}\text{NO}_2^+$ $[\text{M} + \text{H}]^+$ 380.1645, found 380.1644.

(E)-3-(3-(naphthalen-2-yl)quinolin-2-yl)-4-phenylbut-3-en-2-one (3ma)



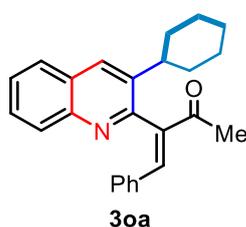
Prepared according to the general procedure as described above in 84% yield (33.6 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 98–99 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.12 (d, *J* = 8.5 Hz, 1H), 8.08 (s, 1H), 7.82 (d, *J* = 8.1 Hz, 1H), 7.70 (q, *J* = 7.8 Hz, 2H), 7.60 (d, *J* = 8.4 Hz, 1H), 7.57 – 7.47 (m, 3H), 7.37 (dt, *J* = 8.8, 6.3 Hz, 2H), 7.25 (s, 1H), 7.16 – 7.03 (m, 2H), 6.96 (t, *J* = 7.7 Hz, 2H), 6.70 (d, *J* = 7.7 Hz, 2H), 2.24 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.4, 155.2, 146.5, 140.8, 139.4, 136.3, 135.1, 134.8, 133.5, 131.9, 131.4, 129.2, 128.7, 128.48, 128.46, 127.3, 127.1, 127.0, 126.7, 126.5, 126.4, 126.2, 125.7, 125.2, 125.1, 26.3. HRMS (ESI) calculated for C₂₉H₂₂NO⁺ [M + H]⁺ 400.1696, found 400.1689.

(E)-4-phenyl-3-(3-(thiophen-2-yl)quinolin-2-yl) but-3-en-2-one (3na)



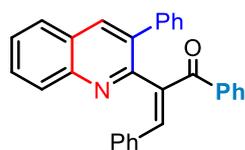
Prepared according to the general procedure as described above in 61% yield (21.7 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 134–135 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.24 (s, 1H), 8.03 (d, *J* = 8.5 Hz, 1H), 7.74 (s, 1H), 7.54 (t, *J* = 7.6 Hz, 1H), 7.23 – 7.17 (m, 1H), 7.15 – 7.09 (m, 1H), 7.02 (t, *J* = 7.3 Hz, 2H), 6.95 – 6.91 (m, 1H), 6.91 – 6.84 (m, 3H), 2.21 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 196.8, 154.7, 146.4, 141.1, 138.9, 138.4, 135.9, 133.1, 129.5, 128.9, 128.7, 128.4, 127.6, 127.4, 126.7, 126.5, 126.42, 126.37, 126.2, 125.7, 26.5. HRMS (ESI) calculated for C₂₃H₁₈NOS⁺ [M + H]⁺ 356.1104, found 356.1099.

(E)-3-(3-cyclohexylquinolin-2-yl)-4-phenylbut-3-en-2-one (3oa)



Prepared according to the general procedure as described above in 54% yield (19.2 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 134–135 °C; ¹H NMR (500 MHz, Chloroform-*d*) δ 8.11 – 8.05 (m, 2H), 7.91 (s, 1H), 7.84 (dd, *J* = 8.2, 1.4 Hz, 1H), 7.64 – 7.70 (m, 1H), 7.54 – 7.58 (m, 1H), 7.21 – 7.15 (m, 1H), 7.08 (t, *J* = 7.7 Hz, 2H), 6.94 – 6.89 (m, 2H), 2.47 (tt, *J* = 12.0, 3.4 Hz, 1H), 2.35 (s, 3H), 1.86 – 1.75 (m, 2H), 1.72 – 1.57 (m, 2H), 1.42 (qd, *J* = 13.1, 12.5, 3.6 Hz, 1H), 1.30 – 1.02 (m, 5H); ¹³C NMR (126 MHz, CDCl₃) δ 198.3, 157.1, 146.9, 140.7, 140.0, 139.4, 134.3, 133.9, 130.5, 129.7, 129.3, 128.9, 128.4, 128.1, 127.4, 126.7, 40.5, 34.7, 34.3, 27.9, 26.9, 26.8, 26.0. HRMS (ESI) calculated for C₂₅H₂₆NO⁺ [M + H]⁺ 356.2009, found 356.2006.

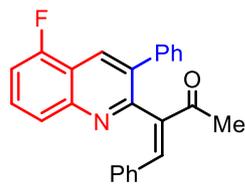
(E)-1,3-diphenyl-2-(3-phenylquinolin-2-yl)prop-2-en-1-one (3pa)



3pa

Prepared according to the general procedure as described above in 60% yield (24.6 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 134–135 °C; ¹H NMR (500 MHz, Chloroform-d) δ 8.14 (d, J = 8.5 Hz, 1H), 8.09 (s, 1H), 7.88 (d, J = 8.1 Hz, 1H), 7.72 (t, J = 7.7 Hz, 1H), 7.59 (t, J = 7.6 Hz, 1H), 7.47 (t, J = 7.5 Hz, 1H), 7.39 – 7.29 (m, 3H), 7.25 – 7.18 (m, 3H), 7.16 (d, J = 6.8 Hz, 2H), 7.08 (t, J = 7.6 Hz, 2H), 6.88 (d, J = 7.7 Hz, 2H); ¹³C NMR (126 MHz, CDCl₃) δ 197.2, 156.3, 147.7, 144.9, 140.1, 138.8, 138.5, 136.9, 135.9, 134.4, 131.6, 130.3, 129.7, 129.54, 129.52, 129.47, 129.2, 129.1, 128.3, 128.0, 127.7, 127.63, 127.56, 127.1. HRMS (ESI) calculated for C₃₀H₂₂NO⁺ [M + H]⁺ 412.1696, found 412.1693.

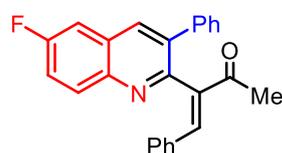
(E)-3-(5-fluoro-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3ab)



3ab

Prepared according to the general procedure as described above in 52% yield (19.1 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ¹H NMR (500 MHz, Chloroform-d) δ 8.35 (s, 1H), 7.96 (d, J = 8.5 Hz, 1H), 7.67 (td, J = 8.2, 6.0 Hz, 1H), 7.63 (s, 1H), 7.30 – 7.27 (m, 1H), 7.26 (d, J = 3.7 Hz, 1H), 7.23 – 7.16 (m, 3H), 7.09 (t, J = 7.8 Hz, 2H), 7.05 – 7.00 (m, 2H), 6.84 – 6.79 (m, 2H), 2.33 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 198.25, 158.08 (d, J = 246.4 Hz), 157.26, 148.18, 141.92, 140.16, 138.30, 136.1 (d, J = 2.7 Hz), 134.18, 130.26, 129.67, 129.13, 129.06, 128.80, 128.40, 128.03, 127.72, 125.3 (d, J = 4.1 Hz), 118.4 (d, J = 16.2 Hz), 110.7 (d, J = 19.1 Hz), 27.16. HRMS (ESI) calculated for C₂₅H₁₉FNO⁺ [M + H]⁺ 368.1445, found 368.1442.

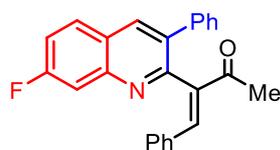
(E)-3-(6-fluoro-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3ac)



3ac

Prepared according to the general procedure as described above in 65 % yield (23.8 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ¹H NMR (500 MHz, Chloroform-d) δ 8.07 (dd, J = 9.1, 5.3 Hz, 1H), 7.95 (s, 1H), 7.53 (s, 1H), 7.49 – 7.37 (m, 2H), 7.18 (d, J = 7.1 Hz, 1H), 7.13 (t, J = 7.3 Hz, 3H), 7.01 (t, J = 7.6 Hz, 2H), 6.93 (d, J = 7.5 Hz, 2H), 6.73 (d, J = 7.8 Hz, 2H), 2.24 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.4, 160.0 (d, J = 249.1 Hz), 154.5 (d, J = 2.9 Hz), 143.6, 140.8, 139.2, 137.3, 135.6, 135.3 (d, J = 5.4 Hz), 133.2, 131.0 (d, J = 9.2 Hz), 129.2, 128.6, 127.7, 127.3, 127.2, 127.0, 126.7, 118.9 (d, J = 25.8 Hz), 109.6 (d, J = 21.7 Hz), 26.1. HRMS (ESI) calculated for C₂₅H₁₉FNO⁺ [M + H]⁺ 368.1445, found 368.1443.

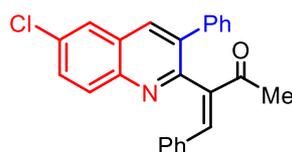
(E)-3-(7-fluoro-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3ad)



3ad

Prepared according to the general procedure as described above in 66% yield (24.2 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ¹H NMR (500 MHz, Chloroform-*d*) δ 8.08 (d, *J* = 2.0 Hz, 1H), 7.98 (s, 1H), 7.75 (d, *J* = 8.7 Hz, 1H), 7.53 (s, 1H), 7.48 (dd, *J* = 8.7, 2.1 Hz, 1H), 7.21 – 7.17 (m, 1H), 7.16 – 7.10 (m, 3H), 7.01 (t, *J* = 7.8 Hz, 2H), 6.95 – 6.90 (m, 2H), 6.73 (dd, *J* = 8.2, 1.4 Hz, 2H), 2.24 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.2, 162.1 (d, *J* = 250.4 Hz), 156.3, 147.3 (d, *J* = 12.8 Hz), 140.8, 139.2, 137.3, 135.9, 134.3 (d, *J* = 2.7 Hz), 133.2, 129.2, 128.8 (d, *J* = 9.8 Hz), 128.6, 127.7, 127.3, 127.0, 126.6, 123.6, 116.8 (d, *J* = 25.5 Hz), 112.1 (d, *J* = 20.4 Hz), 26.1. HRMS (ESI) calculated for C₂₅H₁₉FNO⁺ [M + H]⁺ 368.1445, found 368.1438.

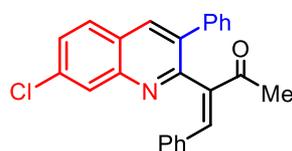
(E)-3-(6-chloro-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3ae)



3ae

Prepared according to the general procedure as described above in 85 % yield (32.5 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a White solid; Melting point: 128–129 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.01 (d, *J* = 9.0 Hz, 1H), 7.91 (s, 1H), 7.79 (d, *J* = 2.1 Hz, 1H), 7.60 (dt, *J* = 9.1, 2.1 Hz, 1H), 7.53 (s, 1H), 7.13 (t, *J* = 7.4 Hz, 3H), 7.04 – 6.97 (m, 2H), 6.95 – 6.89 (m, 2H), 6.72 (d, *J* = 7.8 Hz, 2H), 2.24 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.3, 155.5, 144.8, 140.8, 139.1, 137.2, 135.8, 135.0, 133.2, 132.0, 130.1, 129.5, 129.2, 128.6, 127.7, 127.3, 127.2, 127.0, 126.7, 125.3, 26.1. HRMS (ESI) calculated for C₂₅H₁₉ClNO⁺ [M + H]⁺ 384.1150, found 384.1151.

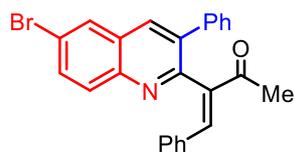
(E)-3-(5-chloro-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3af)



3af

Prepared according to the general procedure as described above in 87 % yield (33.3 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ¹H NMR (500 MHz, Chloroform-*d*) δ 8.00 (d, *J* = 0.9 Hz, 1H), 7.80 (dd, *J* = 9.0, 6.0 Hz, 1H), 7.70 (dd, *J* = 10.1, 2.6 Hz, 1H), 7.53 (s, 1H), 7.32 (ddd, *J* = 9.1, 8.3, 2.5 Hz, 1H), 7.18 – 7.15 (m, 1H), 7.15 – 7.10 (m, 2H), 7.00 (t, *J* = 7.8 Hz, 2H), 6.95 – 6.87 (m, 2H), 6.76 – 6.71 (m, 2H), 2.24 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.2, 156.3, 146.3, 140.8, 139.1, 137.2, 135.8, 135.1, 134.5, 133.2, 129.2, 128.6, 127.9, 127.7, 127.43, 127.35, 127.3, 127.0, 126.6, 124.9, 26.1. HRMS (ESI) calculated for C₂₅H₁₉ClNO⁺ [M + H]⁺ 384.1150, found 384.1150.

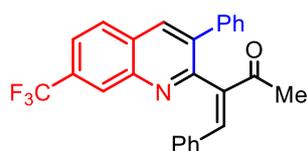
(E)-3-(6-bromo-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3ag)



3ag

Prepared according to the general procedure as described above in 84 % yield (35.8 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 128–129 °C; ¹H NMR (500 MHz, Chloroform-d) δ 7.97 (d, J = 2.2 Hz, 1H), 7.94 (d, J = 9.0 Hz, 1H), 7.91 (s, 1H), 7.74 (dd, J = 9.0, 2.2 Hz, 1H), 7.53 (s, 1H), 7.19 (d, J = 2.3 Hz, 1H), 7.14 (td, J = 7.4, 2.2 Hz, 3H), 7.01 (t, J = 7.8 Hz, 2H), 6.94 – 6.83 (m, 2H), 6.77 – 6.63 (m, 2H), 2.25 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.2, 155.7, 145.0, 140.9, 139.1, 137.1, 135.8, 134.8, 133.2, 132.1, 130.2, 129.2, 128.7, 128.6, 127.69, 127.67, 127.3, 127.0, 126.7, 120.1, 26.1; HRMS (ESI) calculated for C₂₅H₁₉BrNO⁺ [M + H]⁺ 428.0645, found 428.0644

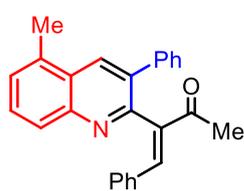
(E)-4-phenyl-3-(3-phenyl-6-(trifluoromethyl)quinolin-2-yl)but-3-en-2-one (3ah)



3ah

Prepared according to the general procedure as described above in 80 % yield (33.3 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 116–117 °C; ¹H NMR (500 MHz, Chloroform-d) δ 8.41 (s, 1H), 8.06 (s, 1H), 7.94 (d, J = 8.5 Hz, 1H), 7.71 (dd, J = 8.6, 1.8 Hz, 1H), 7.54 (s, 1H), 7.21 – 7.17 (m, 1H), 7.17 – 7.09 (m, 3H), 7.02 (t, J = 7.8 Hz, 2H), 6.97 – 6.87 (m, 2H), 6.73 (d, J = 7.4 Hz, 2H), 2.28 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.3, 157.0, 145.3, 141.0, 139.0, 137.0, 136.9, 135.7, 133.1, 129.1, 128.7, 128.0, 127.9, 127.76, 127.4, 127.0, 126.8, 126.33, 126.30, 121.84, 121.82, 26.0. HRMS (ESI) calculated for C₂₆H₁₉F₃NO⁺ [M + H]⁺ 418.1413, found 418.1420.

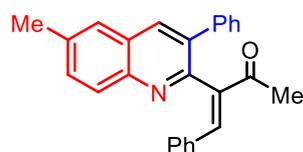
(E)-3-(5-methyl-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3ai)



3ai

Prepared according to the general procedure as described above in 53 % yield (19.2 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ¹H NMR (500 MHz, Chloroform-d) δ 8.24 (s, 1H), 8.01 (d, J = 8.5 Hz, 1H), 7.67 – 7.60 (m, 2H), 7.44 (d, J = 7.0 Hz, 1H), 7.25 (d, J = 3.8 Hz, 1H), 7.21 (td, J = 8.8, 8.3, 6.6 Hz, 3H), 7.11 – 7.02 (m, 4H), 6.87 – 6.82 (m, 2H), 2.72 (s, 3H), 2.30 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 198.4, 155.5, 147.9, 141.6, 140.2, 134.0, 135.4, 134.7, 134.4, 133.8, 130.4, 129.5, 129.4, 128.9, 128.4, 128.0, 127.8, 127.7, 127.5, 127.0, 27.4, 18.7. HRMS (ESI) calculated for C₂₆H₂₂NO⁺ [M + H]⁺ 364.1696, found 364.1694.

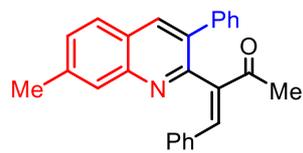
(E)-3-(6-methyl-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3aj)



3aj

Prepared according to the general procedure as described above in 83 % yield (30.1 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 94–95 °C; ¹H NMR (500 MHz, CDCl₃) δ 7.97 (d, *J* = 8.6 Hz, 1H), 7.93 (s, 1H), 7.57 (s, 1H), 7.55 – 7.40 (m, 2H), 7.16 (d, *J* = 7.2 Hz, 1H), 7.14 – 7.06 (m, 3H), 6.99 (t, *J* = 7.8 Hz, 2H), 6.96 – 6.91 (m, 2H), 6.74 (d, *J* = 7.3 Hz, 2H), 2.51 (s, 3H), 2.21 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.4, 154.1, 145.2, 140.4, 139.3, 137.7, 136.2, 135.4, 134.7, 133.4, 130.9, 129.3, 128.4, 128.1, 127.8, 127.3, 126.9, 126.6, 126.4, 125.5, 26.3, 20.7. HRMS (ESI) calculated for C₂₆H₂₂NO⁺ [M + H]⁺ 364.1696, found 364.1697.

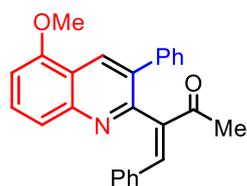
(E)-3-(7-methyl-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3ak)



3ak

Prepared according to the general procedure as described above in 80 % yield (29.1 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ¹H NMR (500 MHz, CDCl₃) δ 7.99 – 7.95 (m, 1H), 7.89 – 7.85 (m, 1H), 7.71 (d, *J* = 8.3 Hz, 1H), 7.53 (s, 1H), 7.38 (dd, *J* = 8.3, 1.7 Hz, 1H), 7.17 – 7.13 (m, 1H), 7.14 – 7.06 (m, 3H), 6.99 (t, *J* = 7.8 Hz, 2H), 6.96 – 6.87 (m, 2H), 6.78 – 6.73 (m, 2H), 2.52 (s, 3H), 2.22 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.3, 154.9, 146.8, 140.3, 139.29, 139.0, 137.7, 135.8, 134.0, 133.4, 129.3, 128.5, 128.4, 127.8, 127.4, 127.6, 126.9, 126.4, 124.6, 26.4, 21.0. HRMS (ESI) calculated for C₂₆H₂₂NO⁺ [M + H]⁺ 364.1696, found 364.1693.

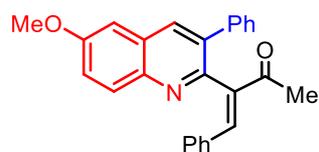
(E)-3-(5-methoxy-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3al)



3al

Prepared according to the general procedure as described above in 60 % yield (22.7 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a White oil; ¹H NMR (500 MHz, Chloroform-d) δ 8.52 (s, 1H), 7.74 (d, *J* = 8.5 Hz, 1H), 7.68 – 7.57 (m, 2H), 7.25 – 7.14 (m, 4H), 7.11 – 6.98 (m, 4H), 6.93 (d, *J* = 7.7 Hz, 1H), 6.86 – 6.79 (m, 2H), 4.03 (s, 3H), 2.29 (s, 3H).; ¹³C NMR (126 MHz, CDCl₃) δ 198.3, 156.3, 155.3, 148.3, 141.5, 140.2, 138.9, 134.9, 134.4, 132.1, 130.4, 129.6, 129.5, 128.9, 128.3, 127.9, 127.4, 121.6, 120.1, 104.7, 55.8, 27.4. HRMS (ESI) calculated for C₂₆H₂₂NO₂⁺ [M + H]⁺ 380.1645, found 380.1642.

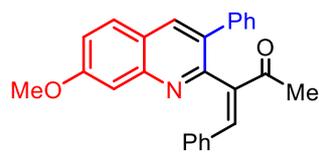
(E)-3-(5-methoxy-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3am)



3am

Prepared according to the general procedure as described above in 58 % yield (21.9 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow oil; ¹H NMR (500 MHz, CDCl₃) δ 7.97 (d, *J* = 9.2 Hz, 1H), 7.92 (s, 1H), 7.53 (s, 1H), 7.33 (dd, *J* = 9.2, 2.8 Hz, 1H), 7.18 – 7.14 (m, 1H), 7.14 – 7.09 (m, 3H), 7.07 (d, *J* = 2.8 Hz, 1H), 7.00 (t, *J* = 7.8 Hz, 2H), 6.97 – 6.92 (m, 2H), 6.75 (d, *J* = 7.4 Hz, 2H), 3.89 (s, 3H), 2.21 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.5, 157.4, 152.27, 142.7, 140.5, 139.3, 137.8, 135.0, 134.7, 133.5, 129.9, 129.3, 128.4, 127.8, 127.7, 127.3, 126.9, 126.4, 121.5, 104.2, 76.3, 76.2, 76.0, 75.8, 54.6, 26.3. HRMS (ESI) calculated for C₂₆H₂₂NO₂⁺ [M + H]⁺ 380.1645, found 380.1639.

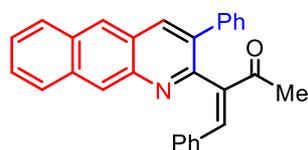
(E)-3-(7-methoxy-3-phenylquinolin-2-yl)-4-phenylbut-3-en-2-one (3an)



3an

Prepared according to the general procedure as described above in 60 % yield (22.7 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 118–119 °C; ¹H NMR (500 MHz, CDCl₃) δ 7.95 (s, 1H), 7.70 (dd, *J* = 9.0, 1.8 Hz, 1H), 7.53 (s, 1H), 7.41 (s, 1H), 7.17 – 7.09 (m, 4H), 7.04 – 6.98 (m, 2H), 6.94 (d, *J* = 8.1 Hz, 2H), 6.76 (d, *J* = 7.7 Hz, 2H), 3.89 (s, 3H), 2.23 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.4, 159.9, 155.1, 148.2, 140.4, 139.3, 137.7, 135.8, 133.4, 132.6, 129.3, 128.5, 127.8, 127.7, 127.3, 126.9, 126.3, 121.8, 119.6, 106.3, 54.6, 26.3. HRMS (ESI) calculated for C₂₆H₂₂NO₂⁺ [M + H]⁺ 380.1645, found 380.1638.

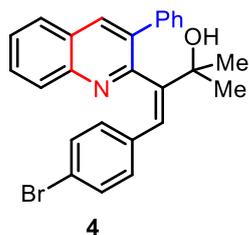
(E)-4-phenyl-3-(3-phenylbenzo[*g*]quinolin-2-yl)but-3-en-2-one (3ao)



3ao

Prepared according to the general procedure as described above in 82 % yield (32.7 mg). It was purified by flash column chromatography (EA/PE = 1:6) to afford a yellow solid; Melting point: 120–121 °C; ¹H NMR (500 MHz, CDCl₃) δ 8.68 (s, 1H), 8.39 (s, 1H), 8.17 (s, 1H), 8.05 – 7.96 (m, 2H), 7.57 (s, 1H), 7.47 (dt, *J* = 5.9, 2.2 Hz, 2H), 7.18 (d, *J* = 1.7 Hz, 1H), 7.13 (dt, *J* = 16.5, 7.3 Hz, 3H), 6.99 (t, *J* = 8.5 Hz, 4H), 6.81 (d, *J* = 7.7 Hz, 2H), 2.26 (s, 3H); ¹³C NMR (126 MHz, CDCl₃) δ 197.3, 156.7, 142.8, 140.6, 139.4, 137.6, 135.9, 134.3, 133.3, 133.0, 131.3, 129.3, 128.6, 127.9, 127.7, 127.3, 127.1, 127.2, 126.50, 126.48, 125.6, 125.4, 125.3, 124.8, 26.3. HRMS (ESI) calculated for C₂₉H₂₂NO⁺ [M + H]⁺ 400.1696, found 400.1694.

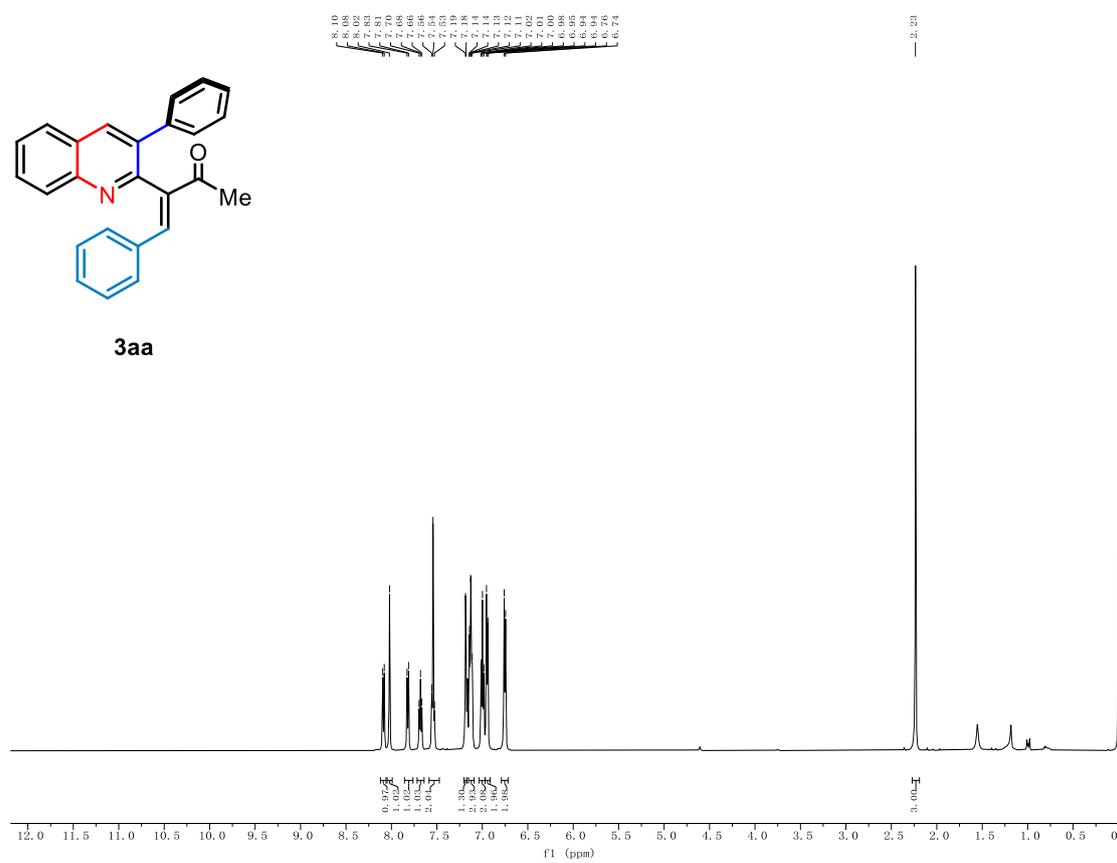
(E)-4-(4-bromophenyl)-2-methyl-3-(3-phenylquinolin-2-yl)but-3-en-2-ol (4)



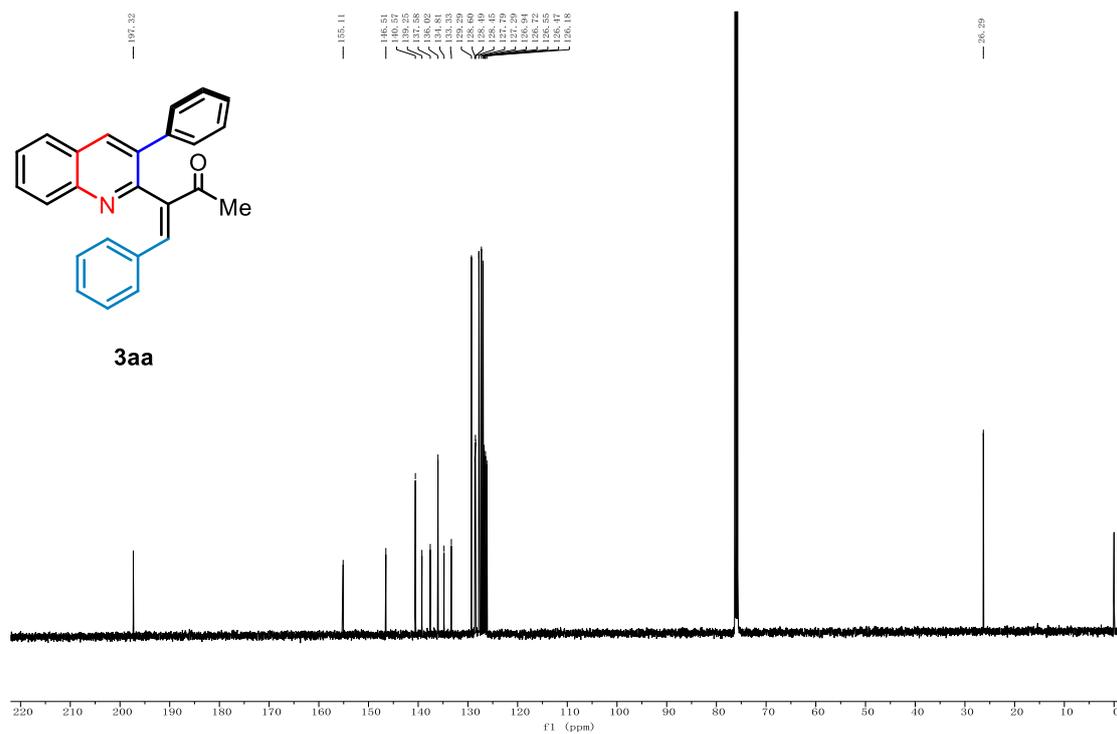
Prepared according to the general procedure as described above in 92 % yield (81.5 mg). It was purified by flash column chromatography (EA/PE = 10:1) to afford a White oil; ^1H NMR (500 MHz, CDCl_3) δ 8.05 (d, $J = 8.4$ Hz, 1H), 7.82 (s, 1H), 7.75 – 7.64 (m, 2H), 7.53 – 7.47 (m, 1H), 7.13 – 7.02 (m, 3H), 6.91 (d, $J = 8.4$ Hz, 2H), 6.74 (dd, $J = 7.4$, 1.8 Hz, 2H), 6.53 (s, 1H), 6.22 (s, 1H), 6.14 (d, $J = 8.2$ Hz, 2H), 1.60 (s, 3H), 1.41 (s, 3H); ^{13}C NMR (126 MHz, CDCl_3) δ 158.6, 146.0, 144.0, 138.8, 138.1, 136.3, 135.8, 130.9, 130.4, 129.9, 128.9, 128.8, 128.0, 127.73, 127.69, 127.3, 127.2, 126.9, 120.9, 74.0, 29.9, 29.1. HRMS (ESI) calculated for $\text{C}_{25}\text{H}_{20}\text{NO}^+$ $[\text{M} + \text{H}]^+$ 444.0958, found 444.0955.

^1H NMR and ^{13}C NMR Spectra of All Products

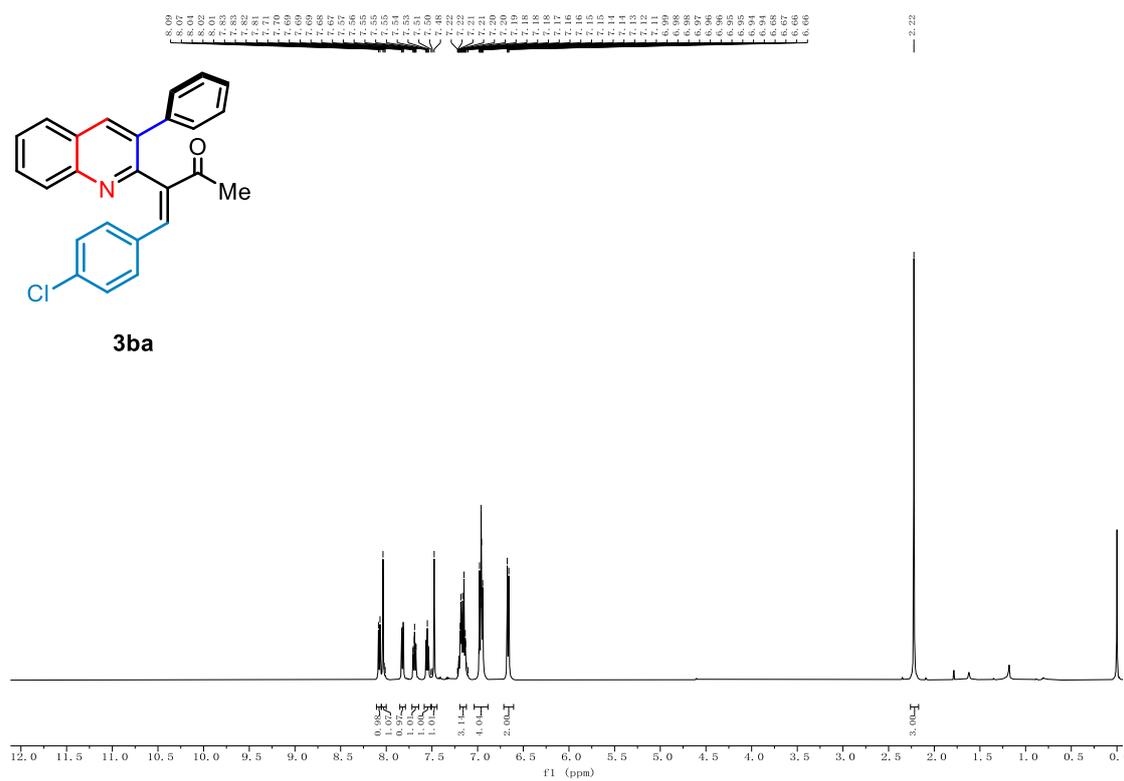
^1H NMR of **3aa** (500 MHz, CDCl_3)



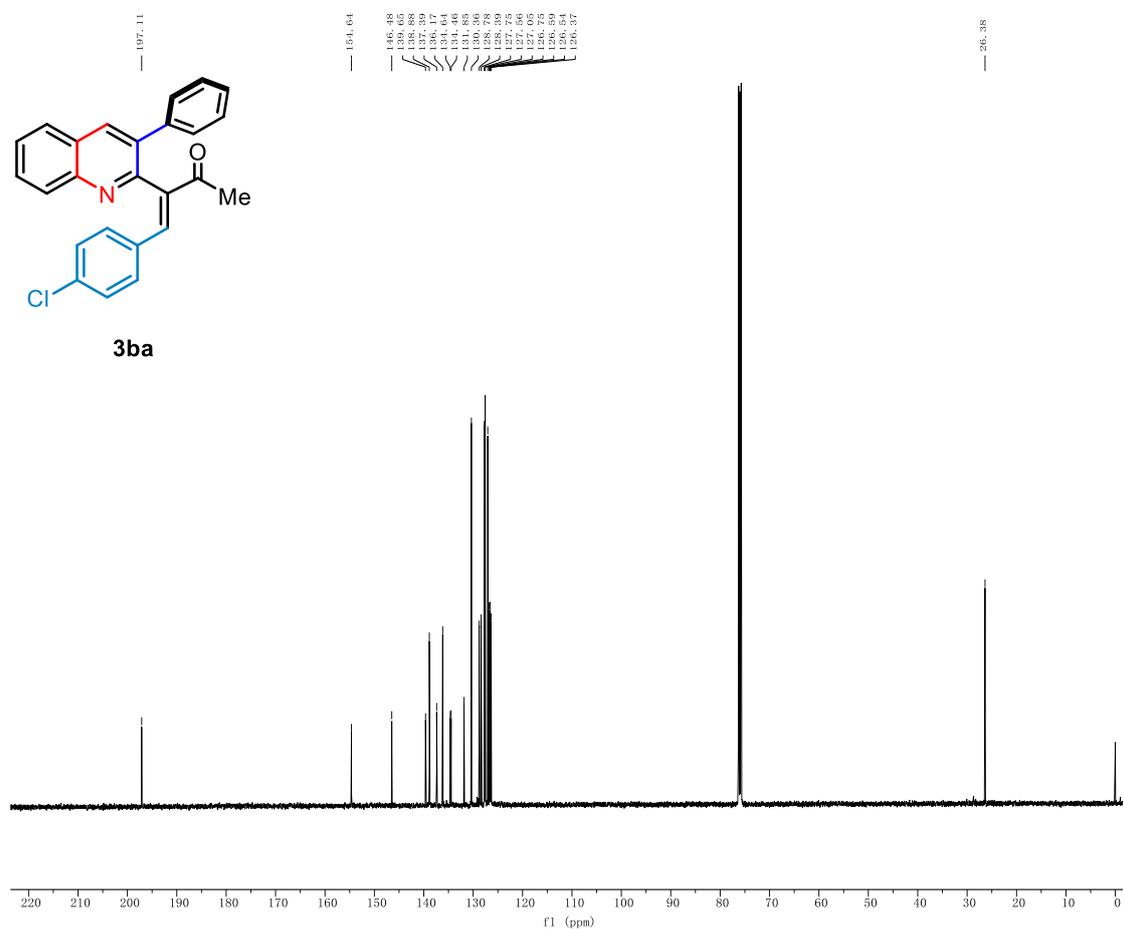
^{13}C NMR of **3aa** (126 MHz, CDCl_3)



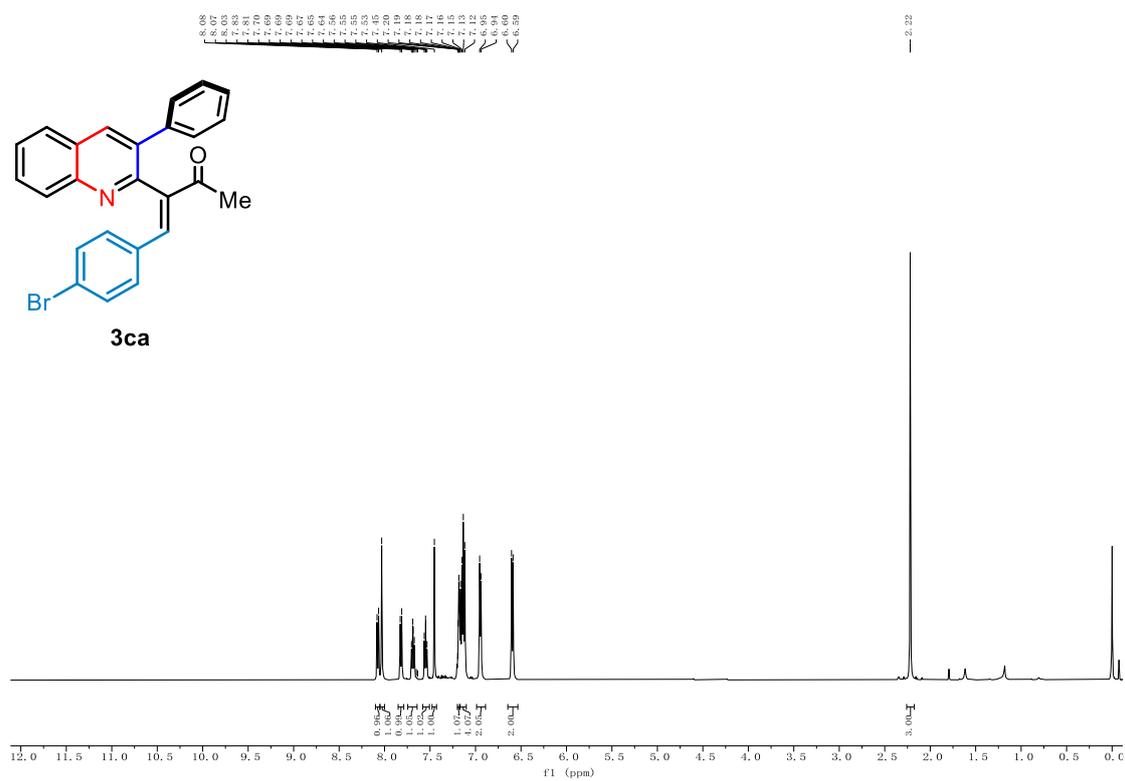
^1H NMR of **3ba** (500 MHz, CDCl_3)



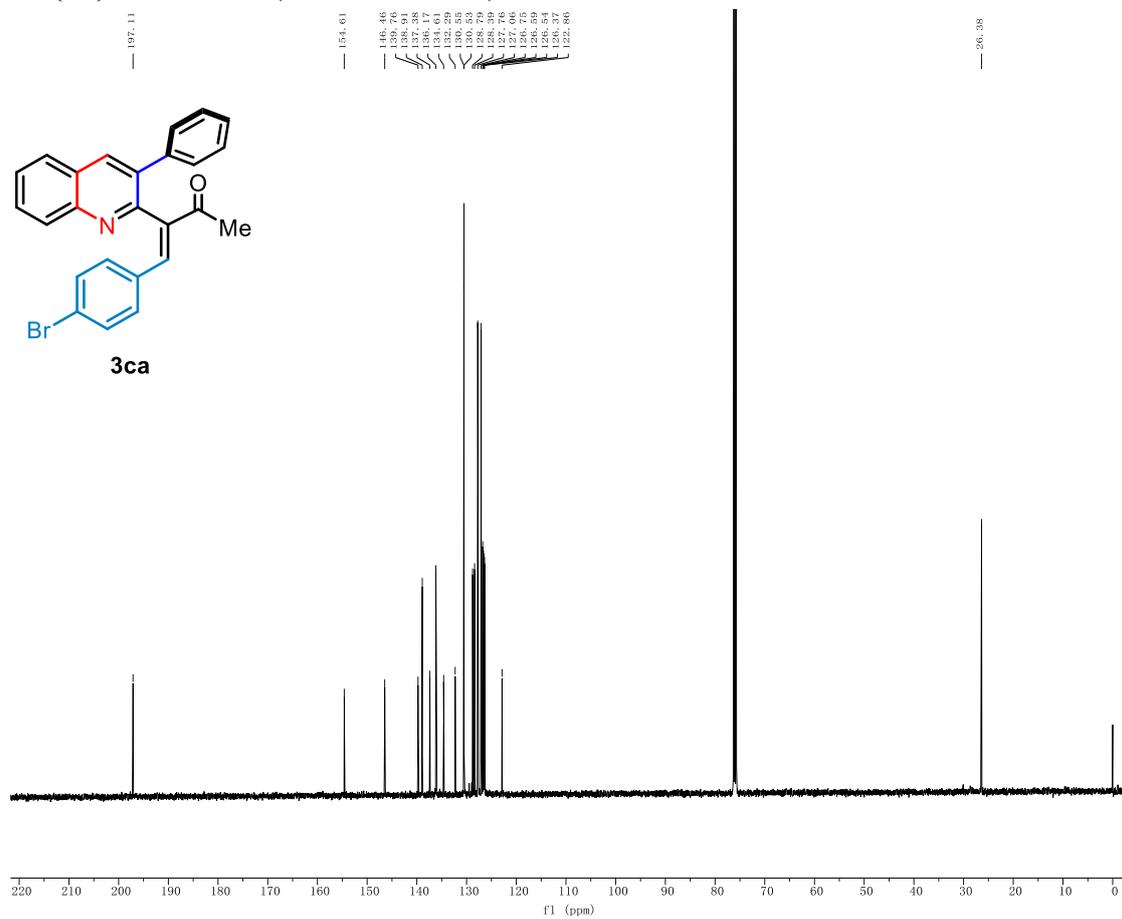
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ba** (126 MHz, CDCl_3)



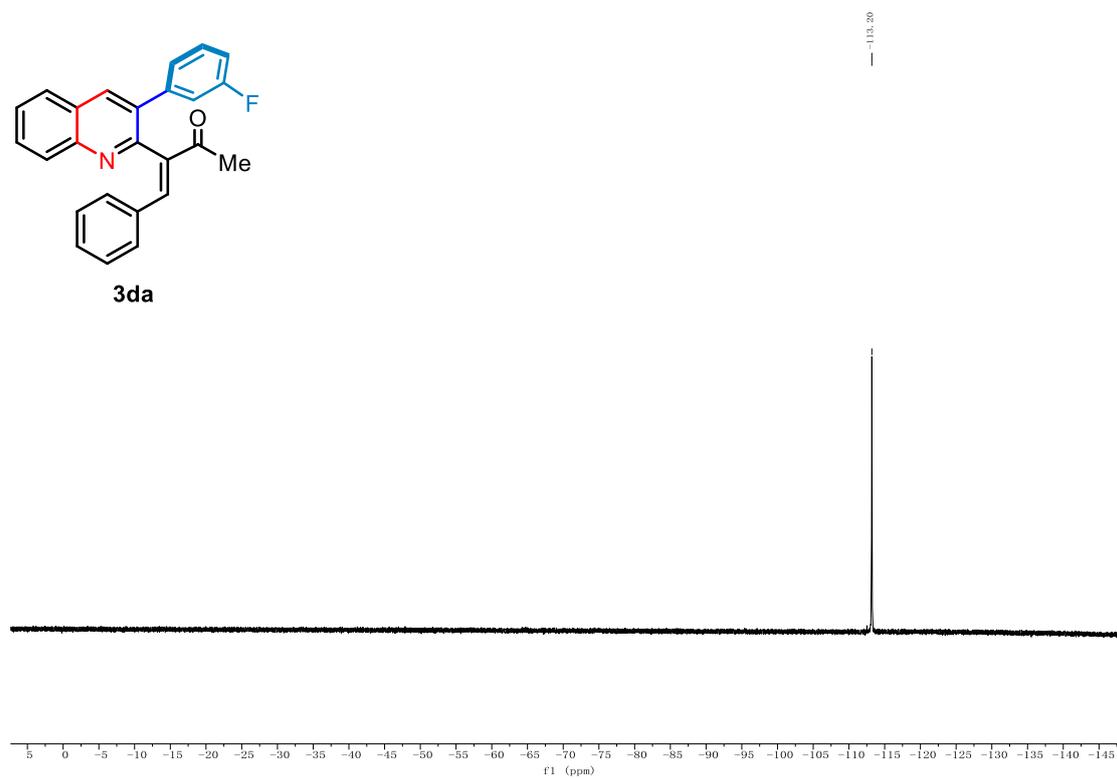
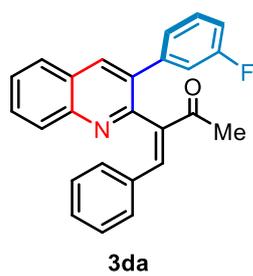
^1H NMR of **3ca** (500 MHz, CDCl_3)



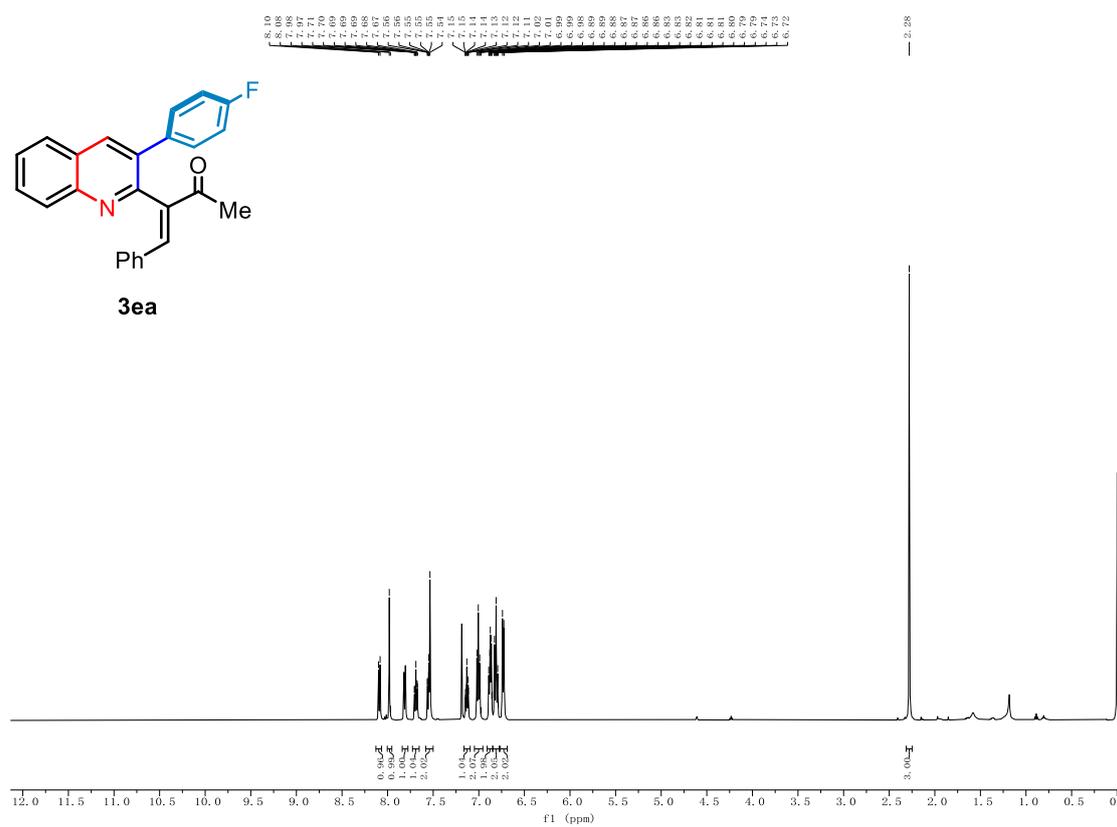
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ca** (126 MHz, CDCl_3)



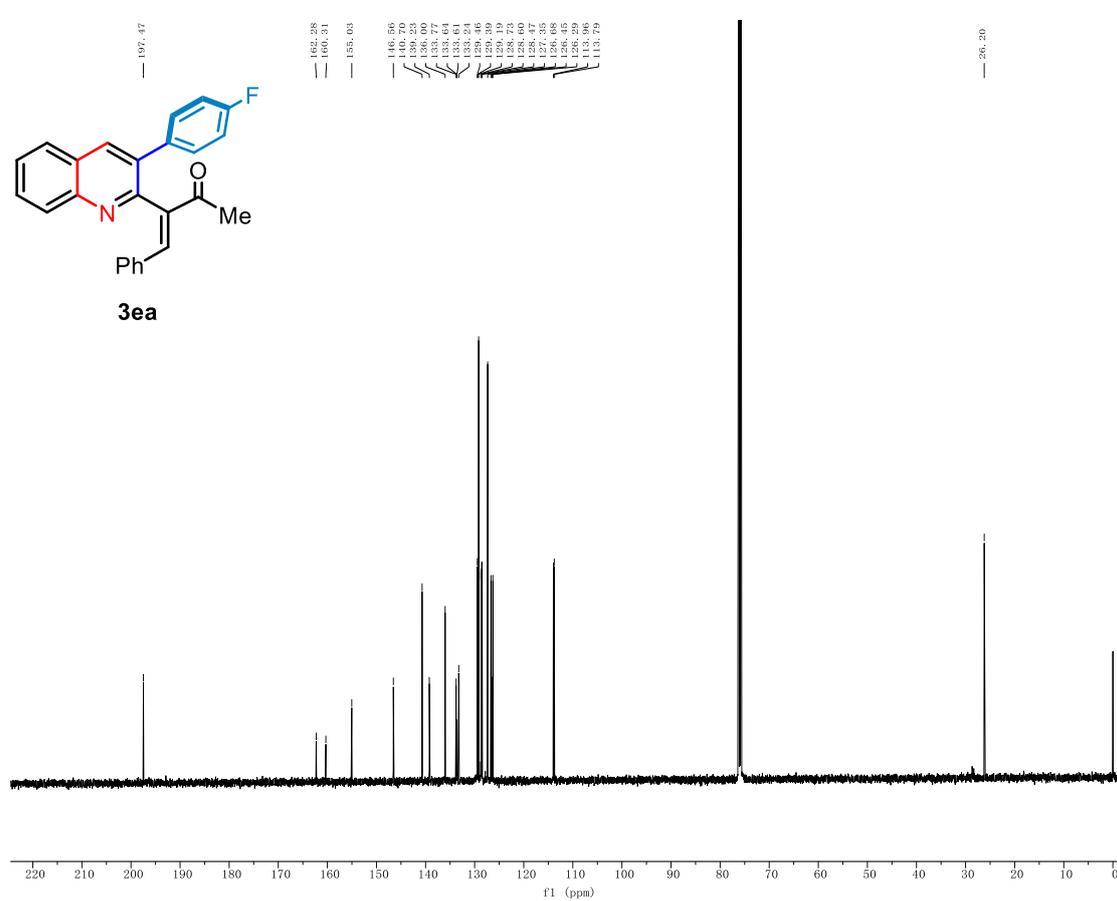
^{19}F NMR of **3da** (471 MHz, CDCl_3)



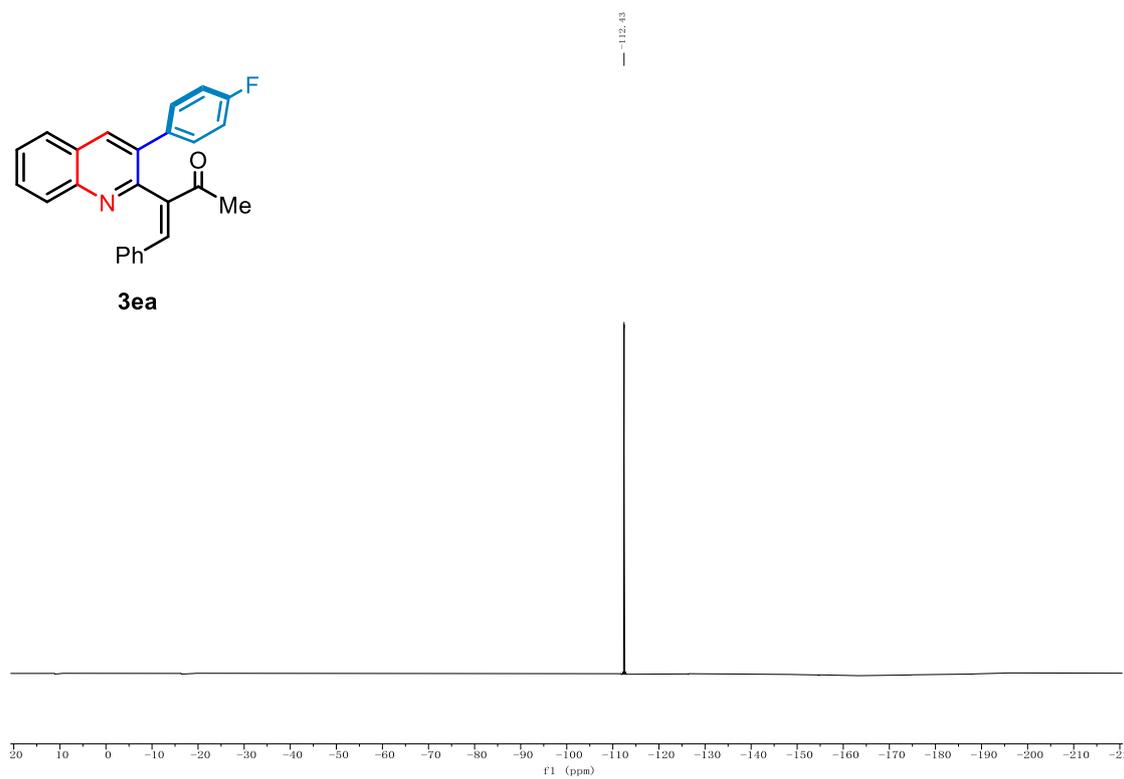
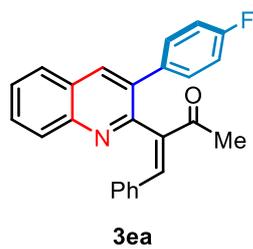
¹H NMR of **3ea** (500 MHz, CDCl₃)



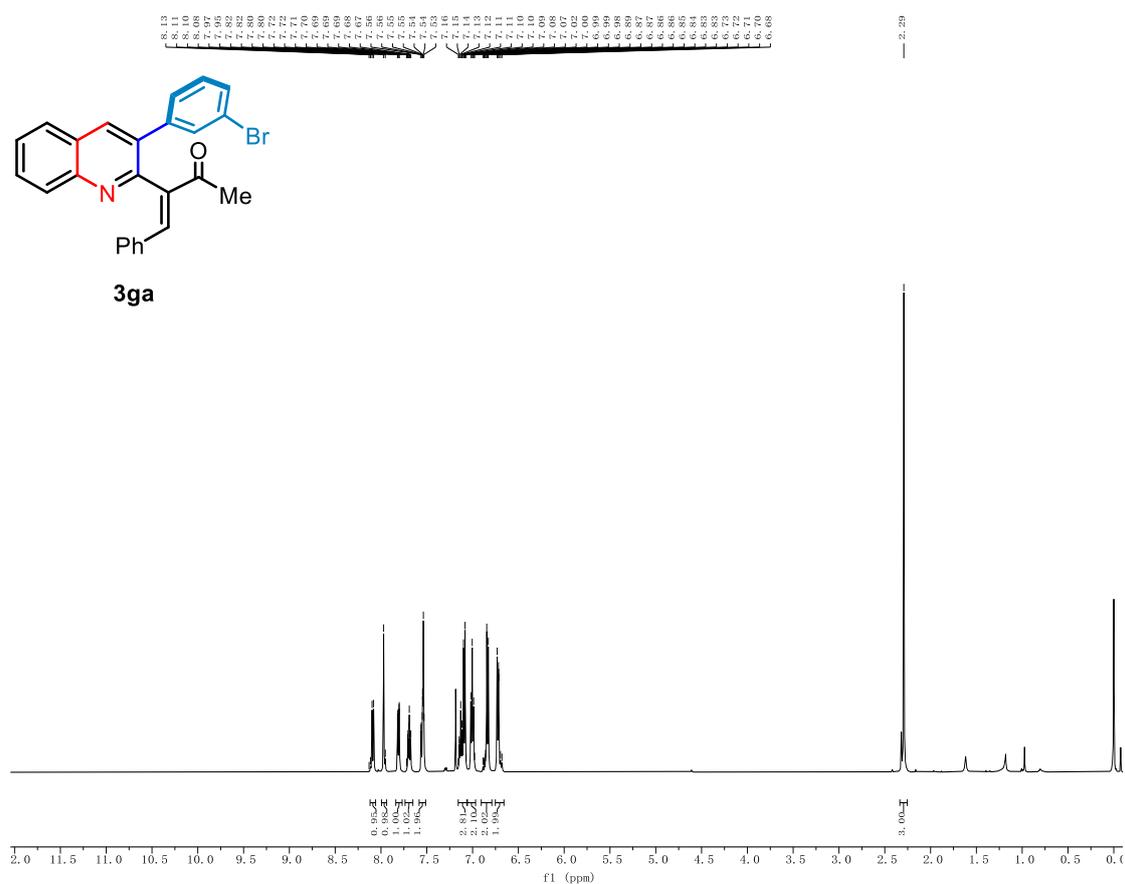
¹³C{¹H} NMR of **3ea** (126 MHz, CDCl₃)



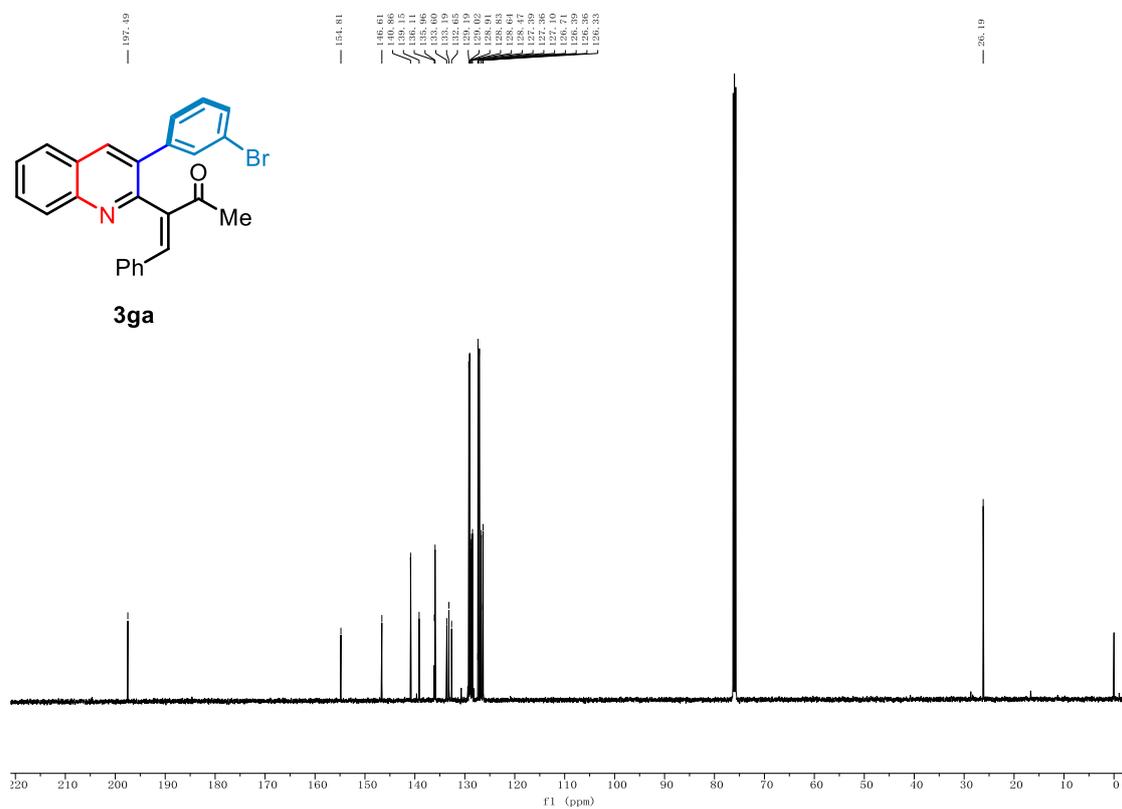
^{19}F NMR of **3ea** (471 MHz, CDCl_3)



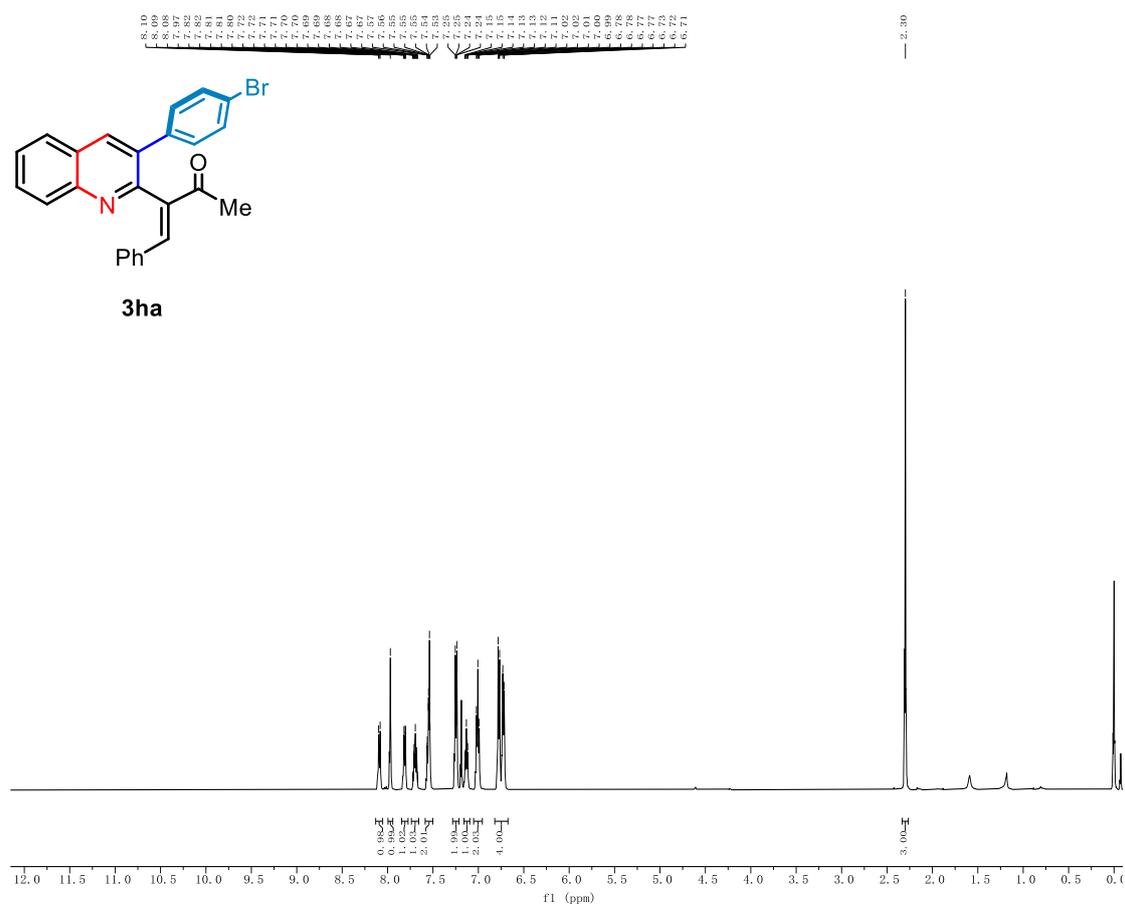
^1H NMR of **3ga** (500 MHz, CDCl_3)



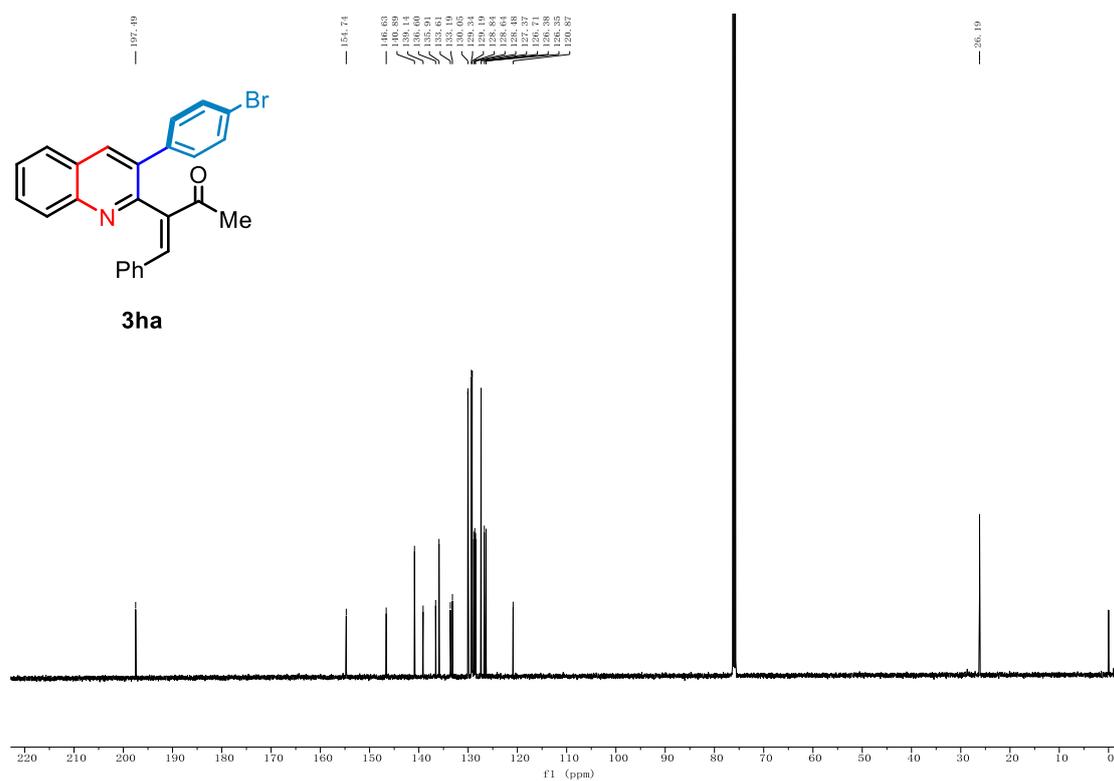
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ga** (126 MHz, CDCl_3)



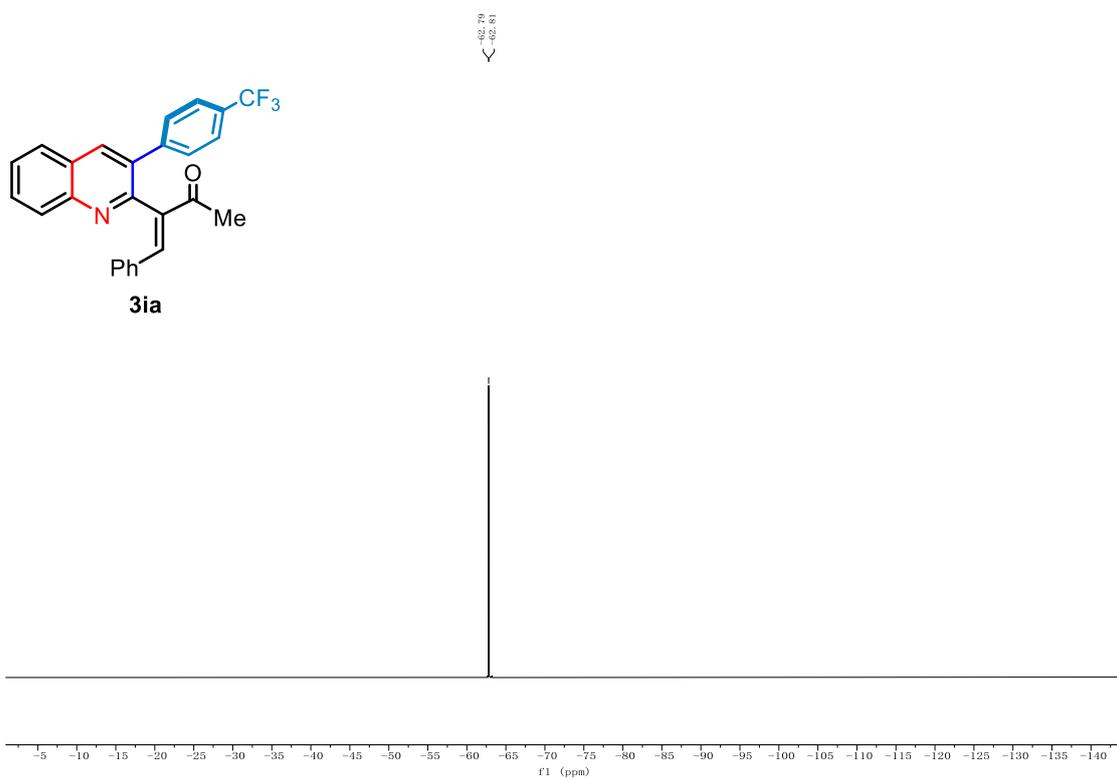
^1H NMR of **3ha** (500 MHz, CDCl_3)



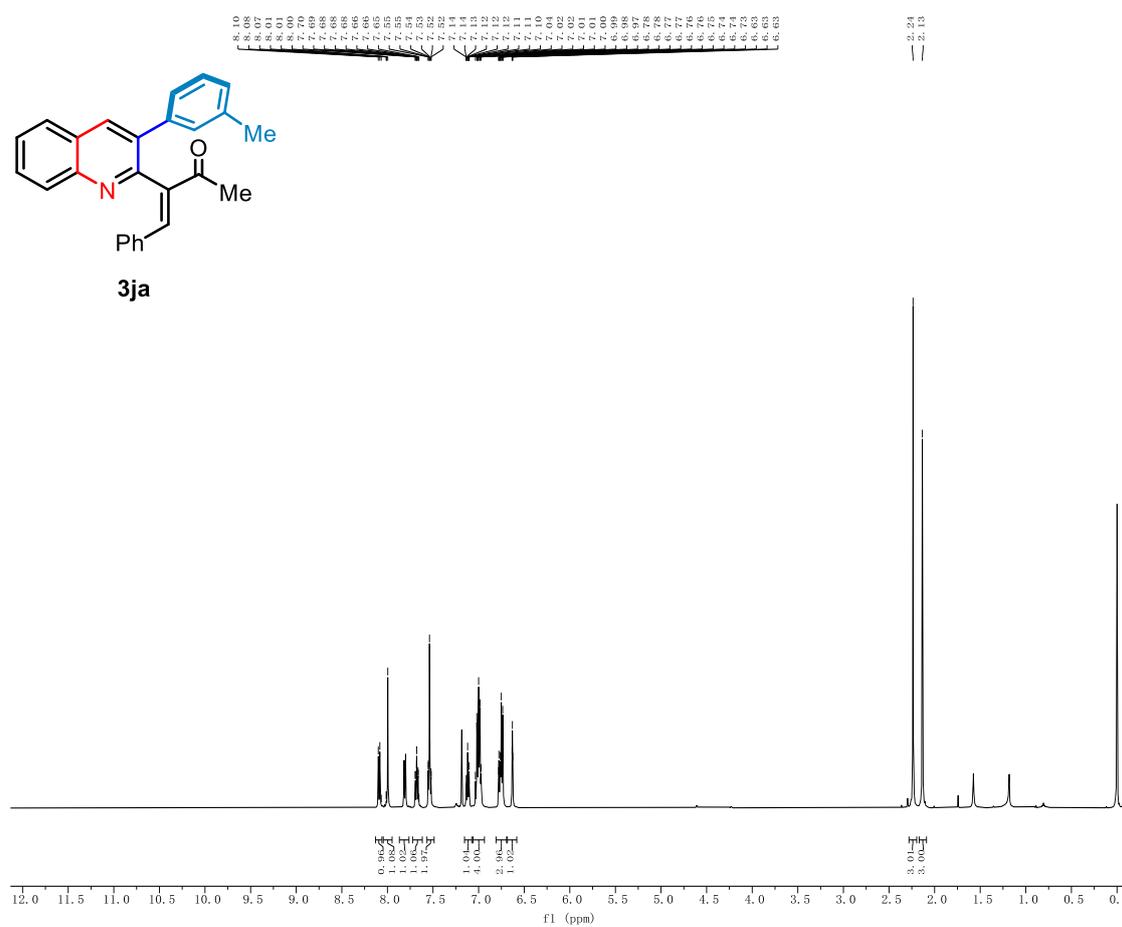
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ha** (126 MHz, CDCl_3)



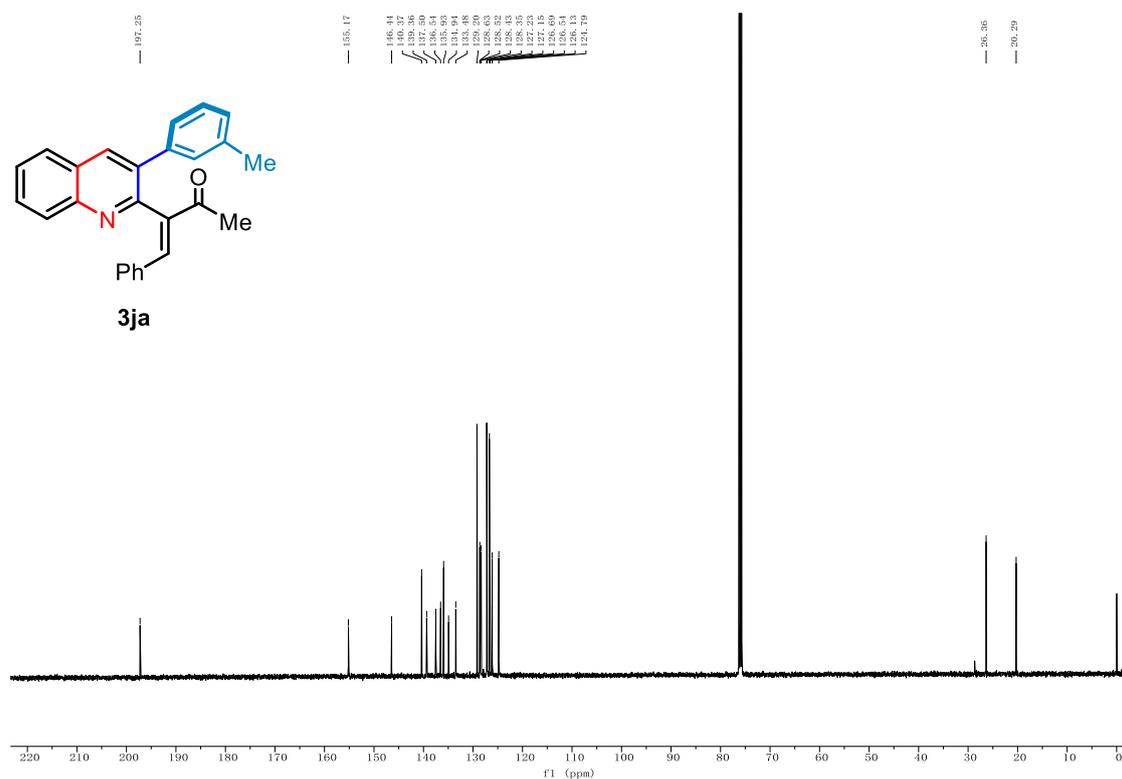
^{19}F NMR of **3ia** (471 MHz, CDCl_3)



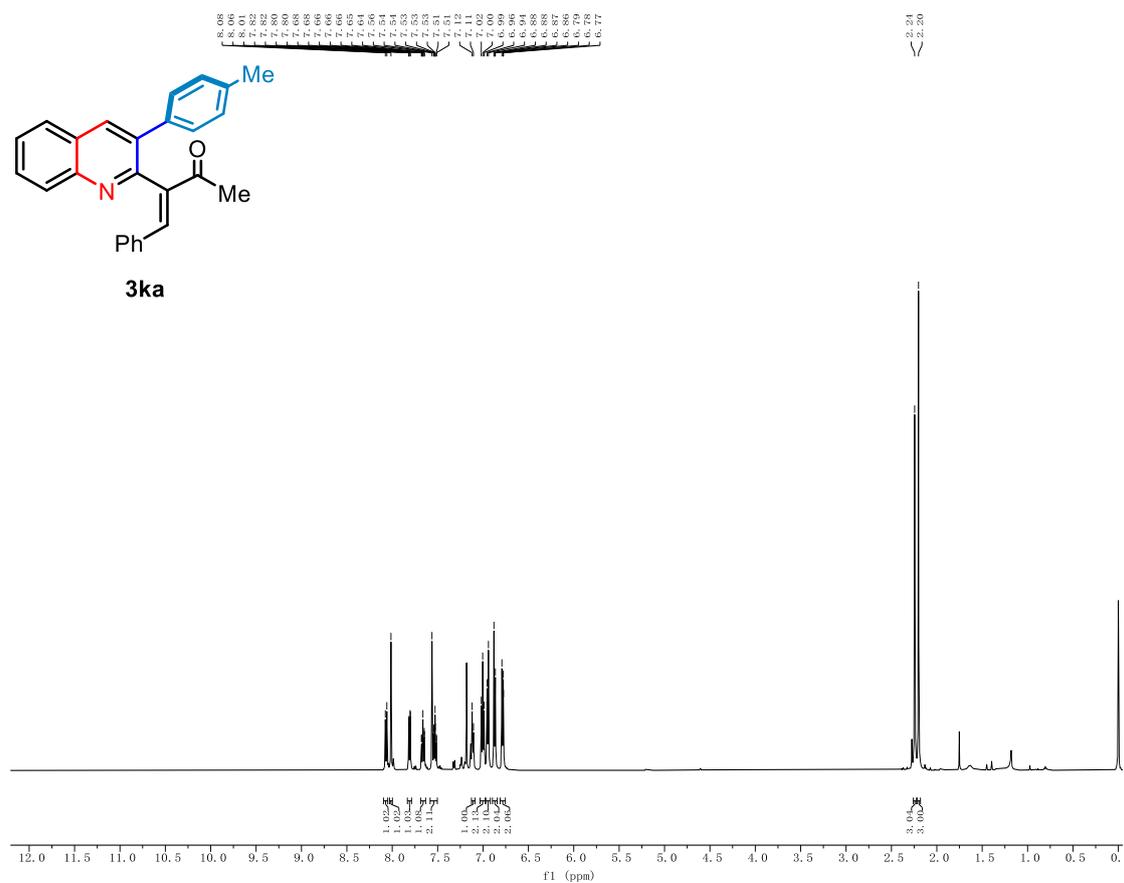
^1H NMR of **3ja** (500 MHz, CDCl_3)



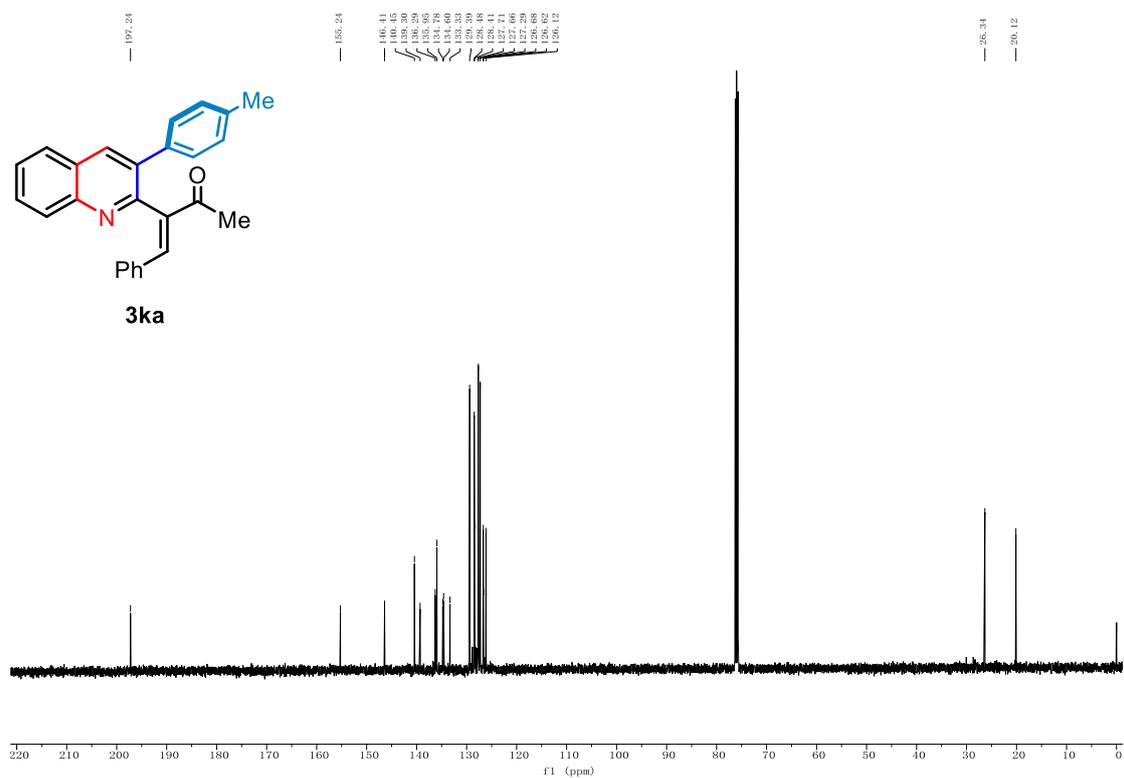
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ja** (126 MHz, CDCl_3)



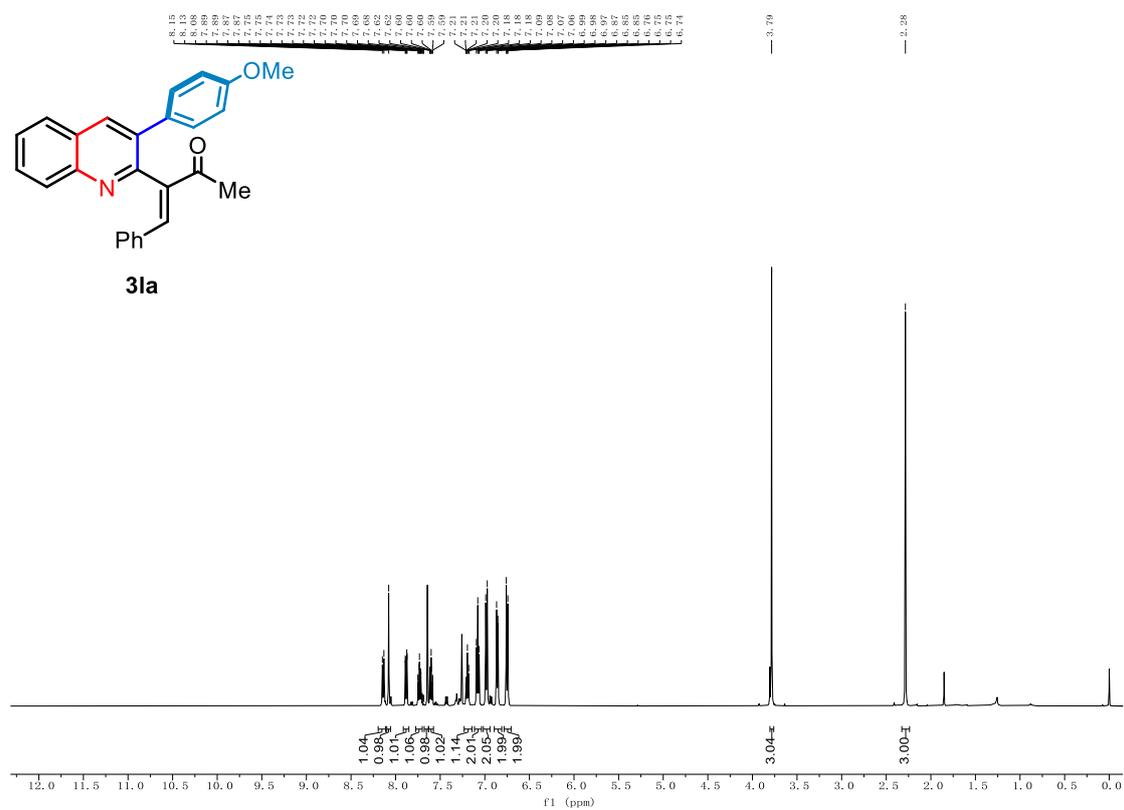
^1H NMR of **3ka** (500 MHz, CDCl_3)



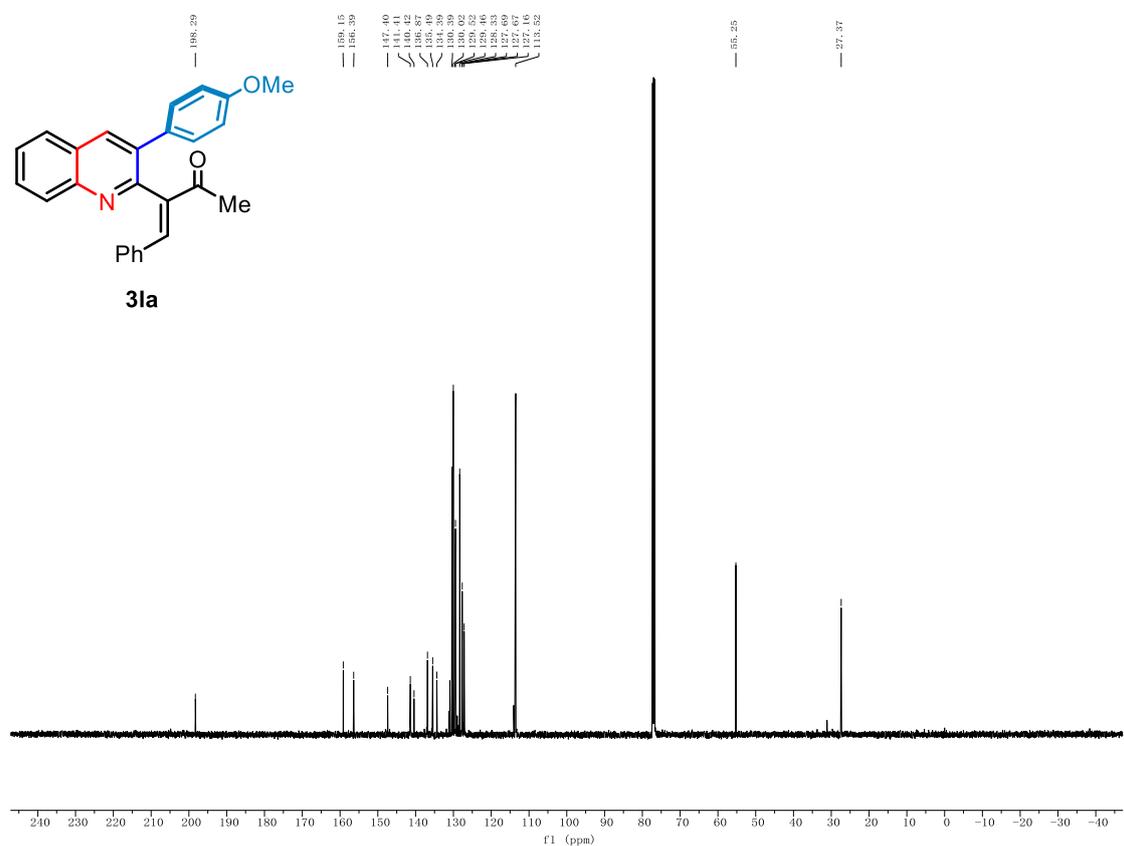
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ka** (126 MHz, CDCl_3)



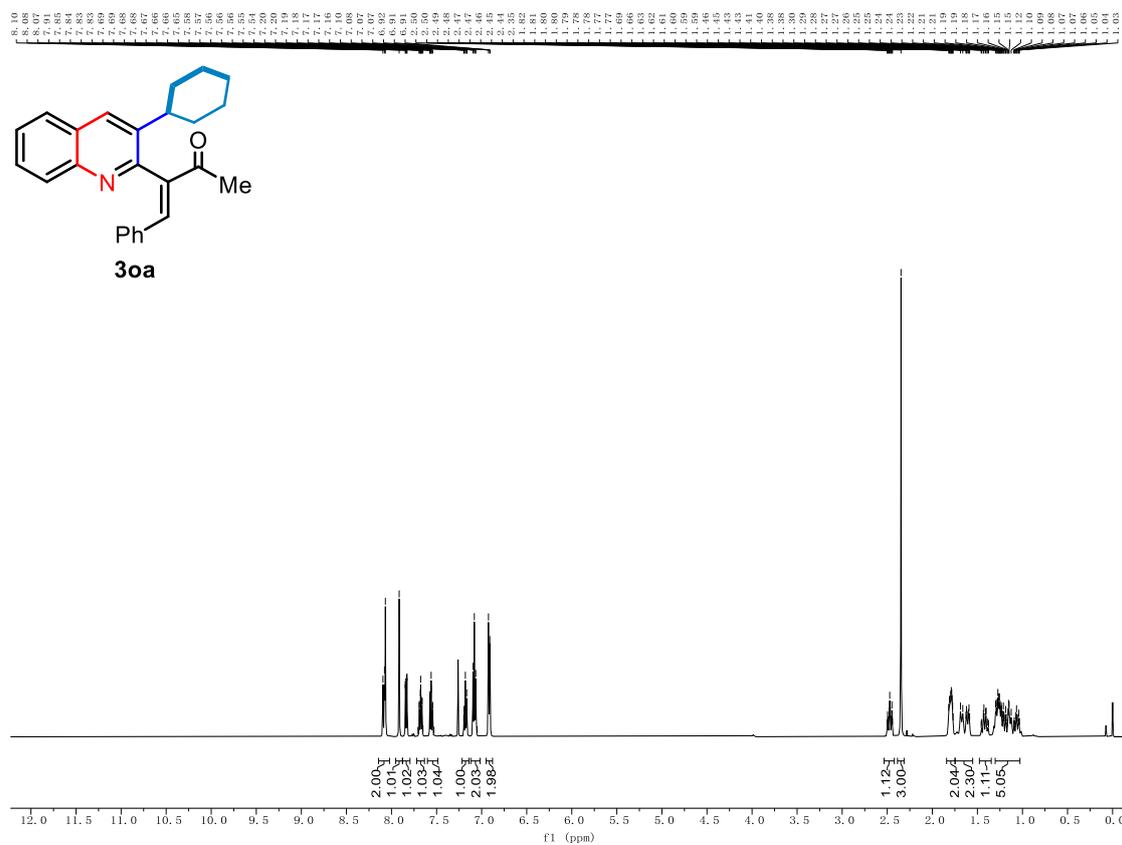
^1H NMR of **3la** (500 MHz, CDCl_3)



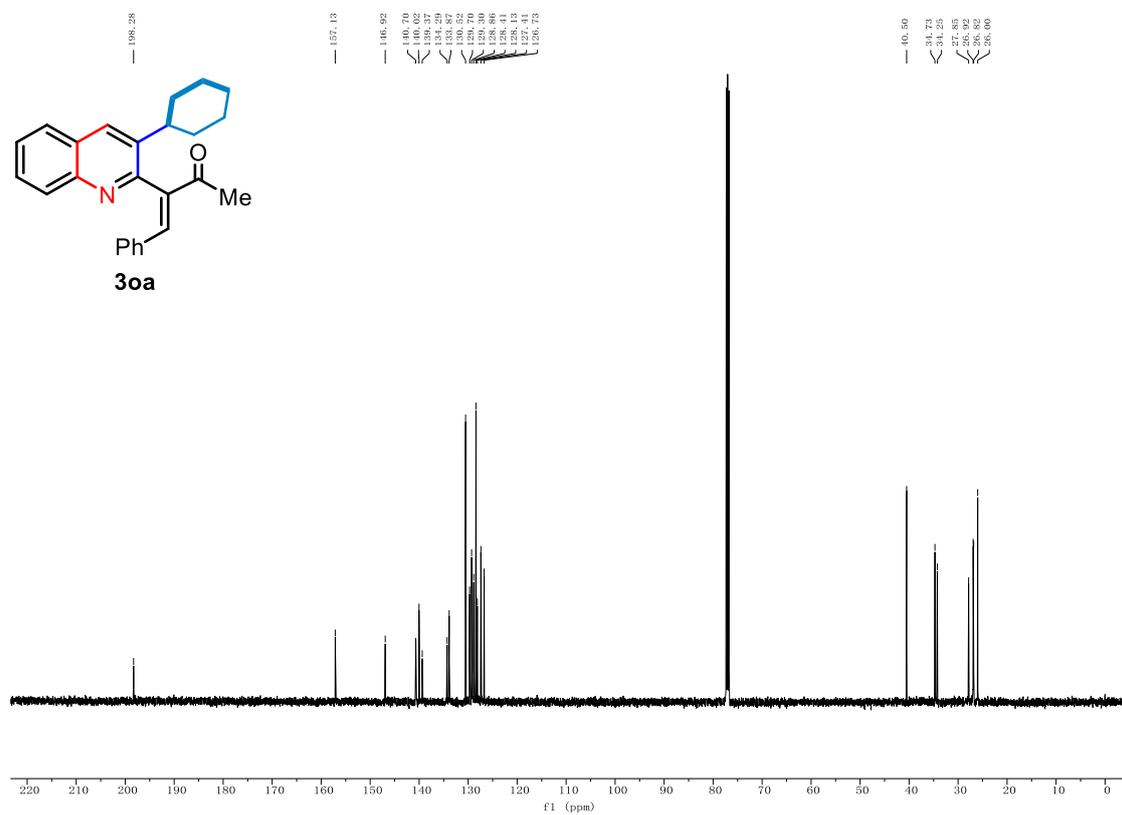
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3la** (126 MHz, CDCl_3)



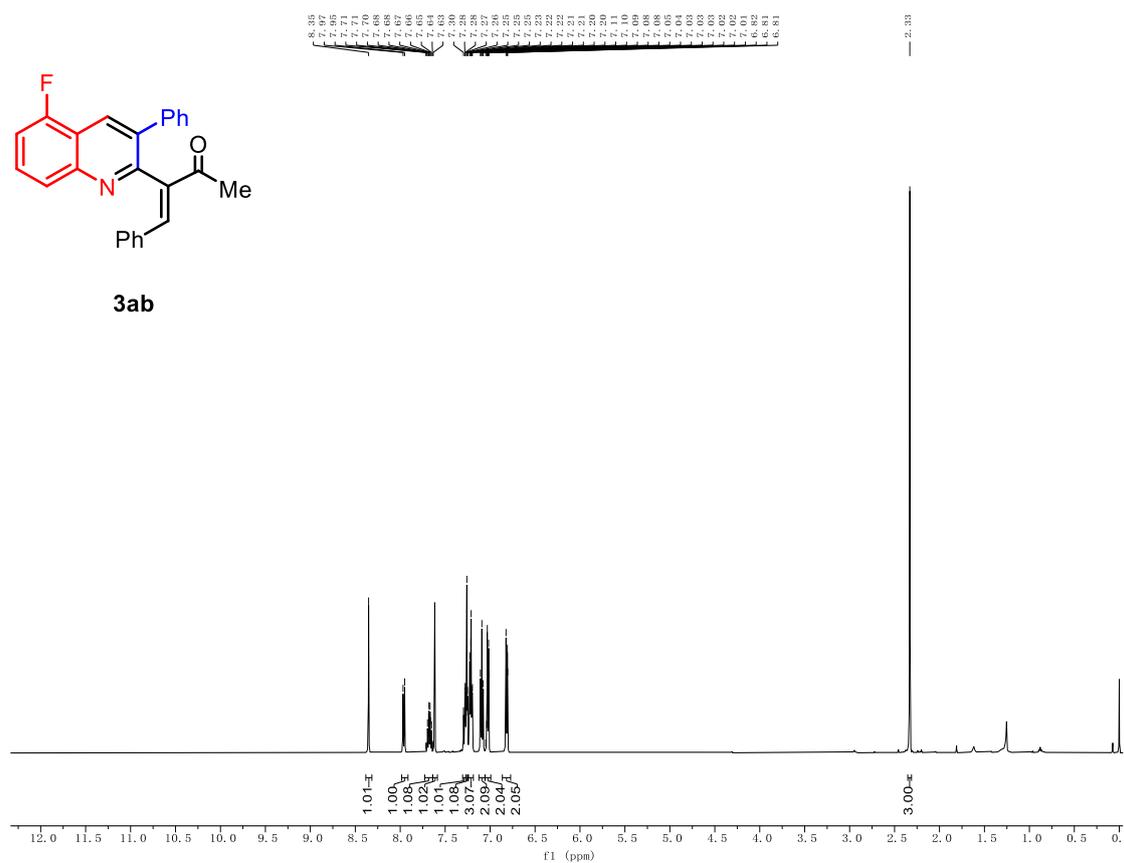
^1H NMR of **3oa** (500 MHz, CDCl_3)



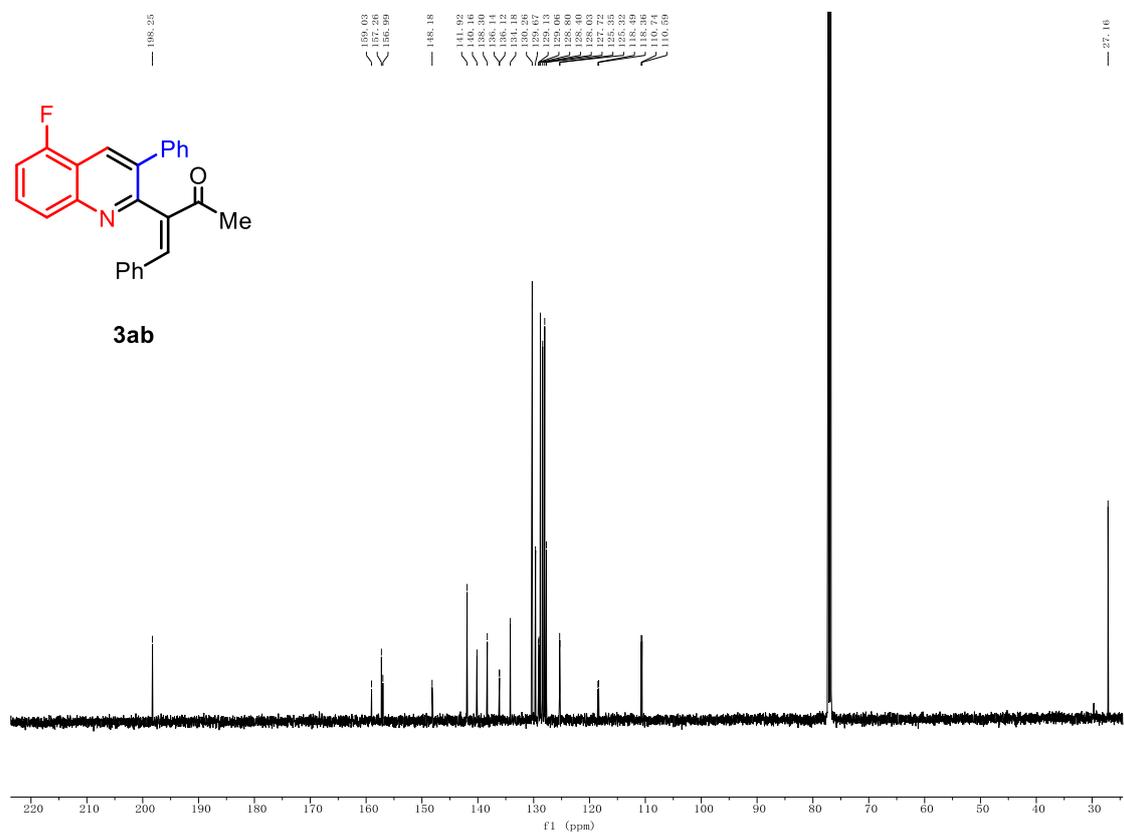
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3oa** (126 MHz, CDCl_3)



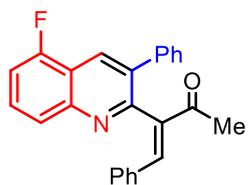
^1H NMR of **3ab** (500 MHz, CDCl_3)



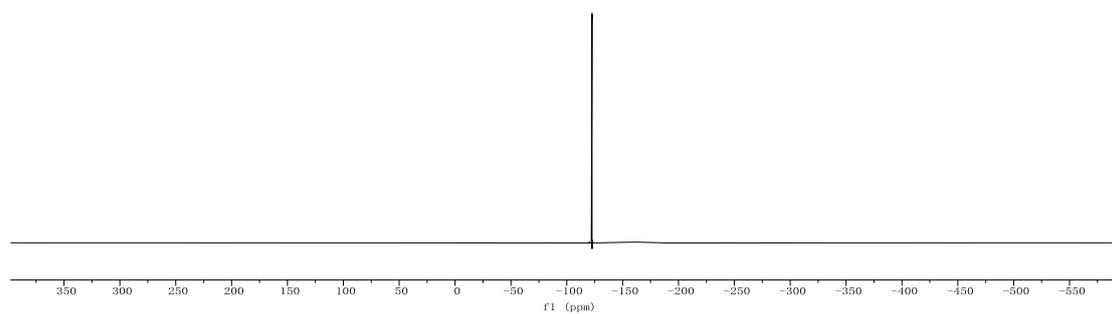
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ab** (126 MHz, CDCl_3)



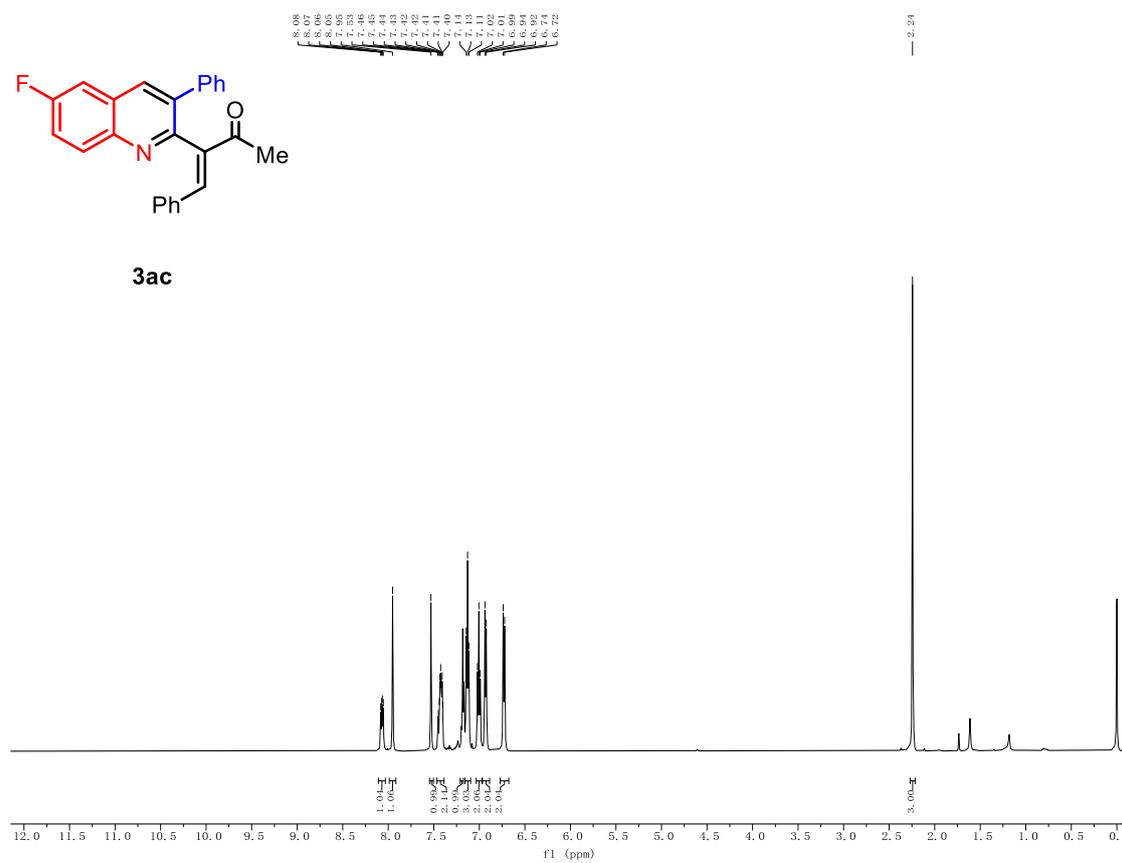
^{19}F NMR of **3ab** (471 MHz, CDCl_3)



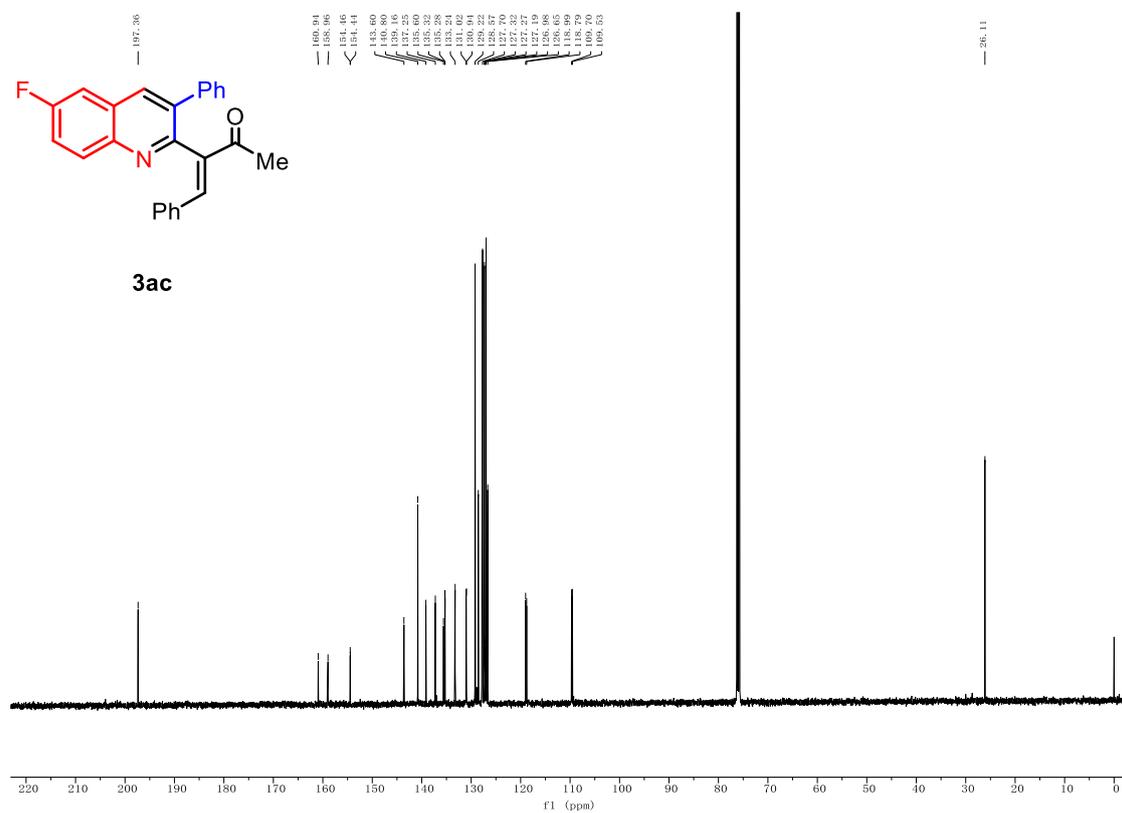
3ab



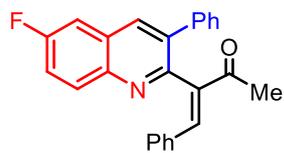
^1H NMR of **3ac** (500 MHz, CDCl_3)



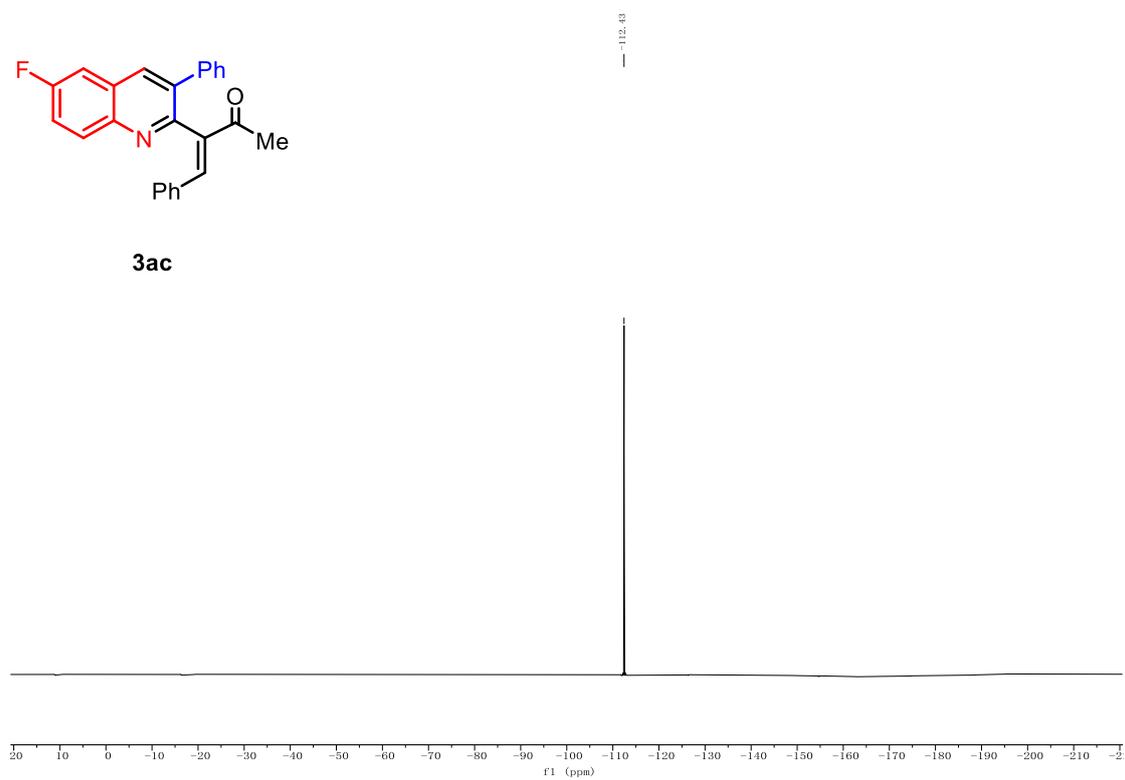
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ac** (126 MHz, CDCl_3)



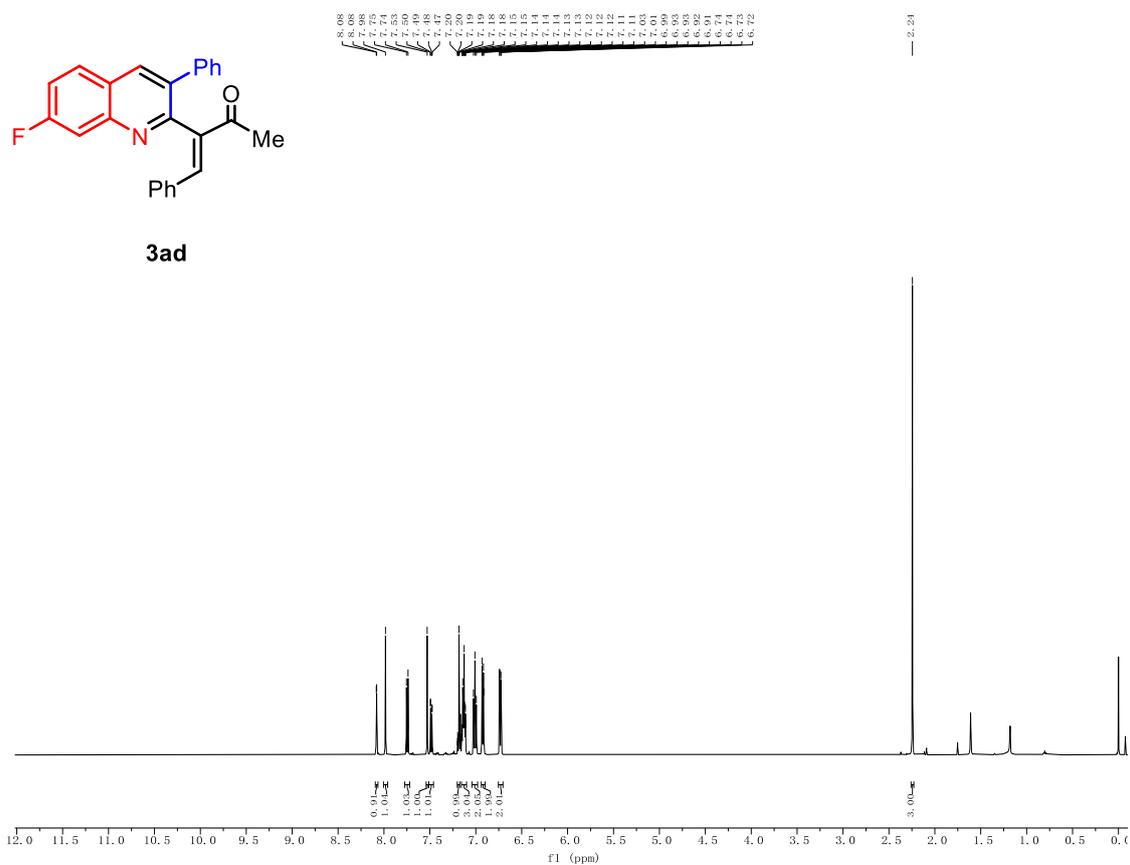
^{19}F NMR of **3ac** (471 MHz, CDCl_3)



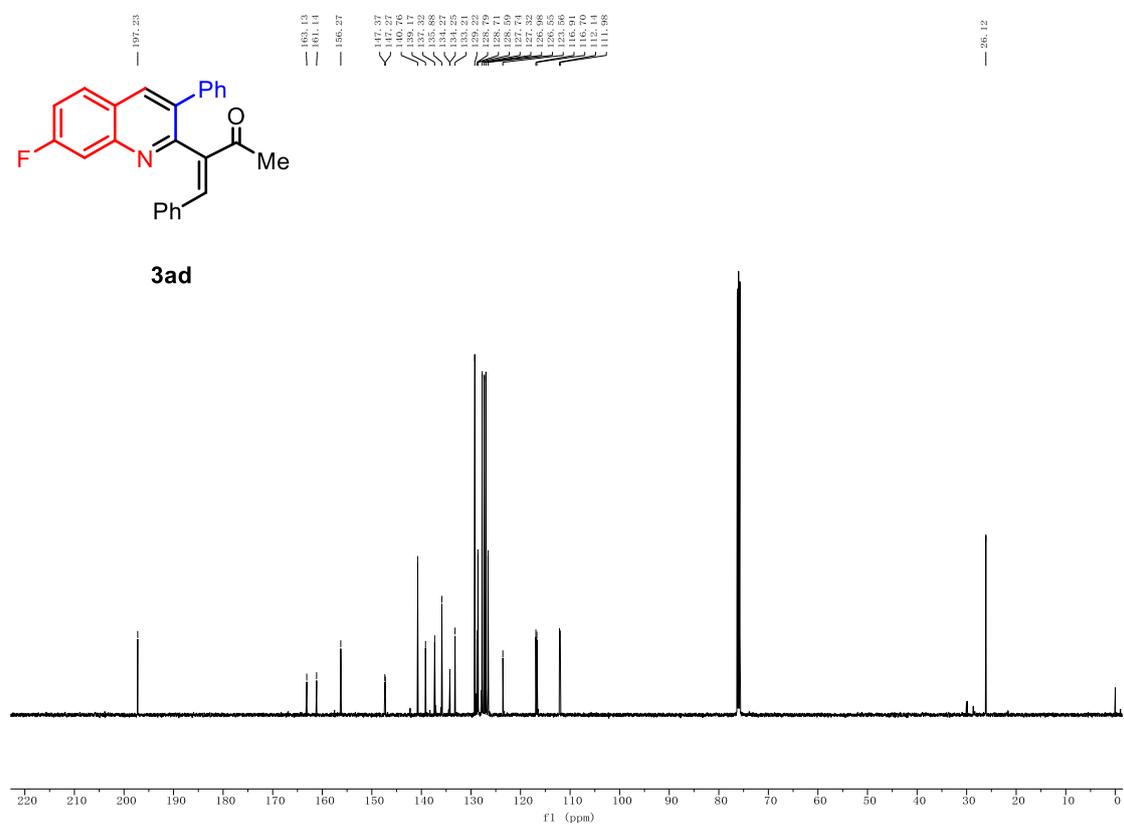
3ac



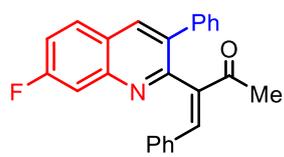
^1H NMR of **3ad** (500 MHz, CDCl_3)



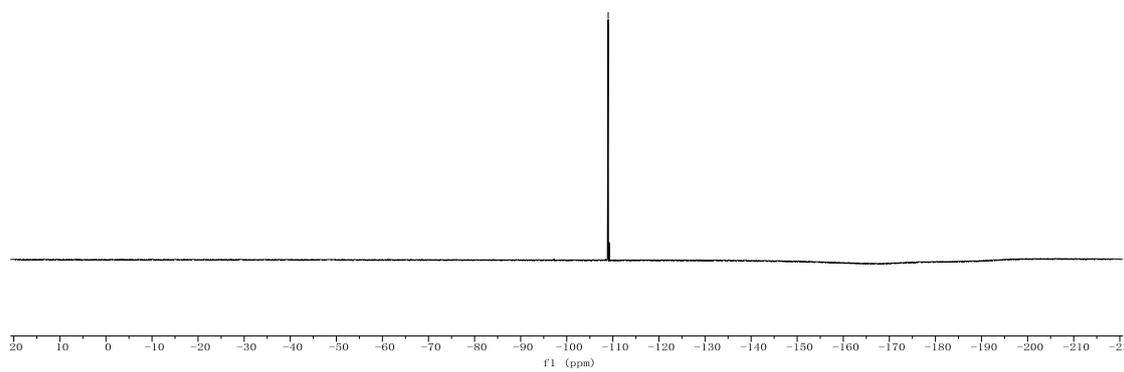
^{13}C NMR of **3ad** (126 MHz, CDCl_3)



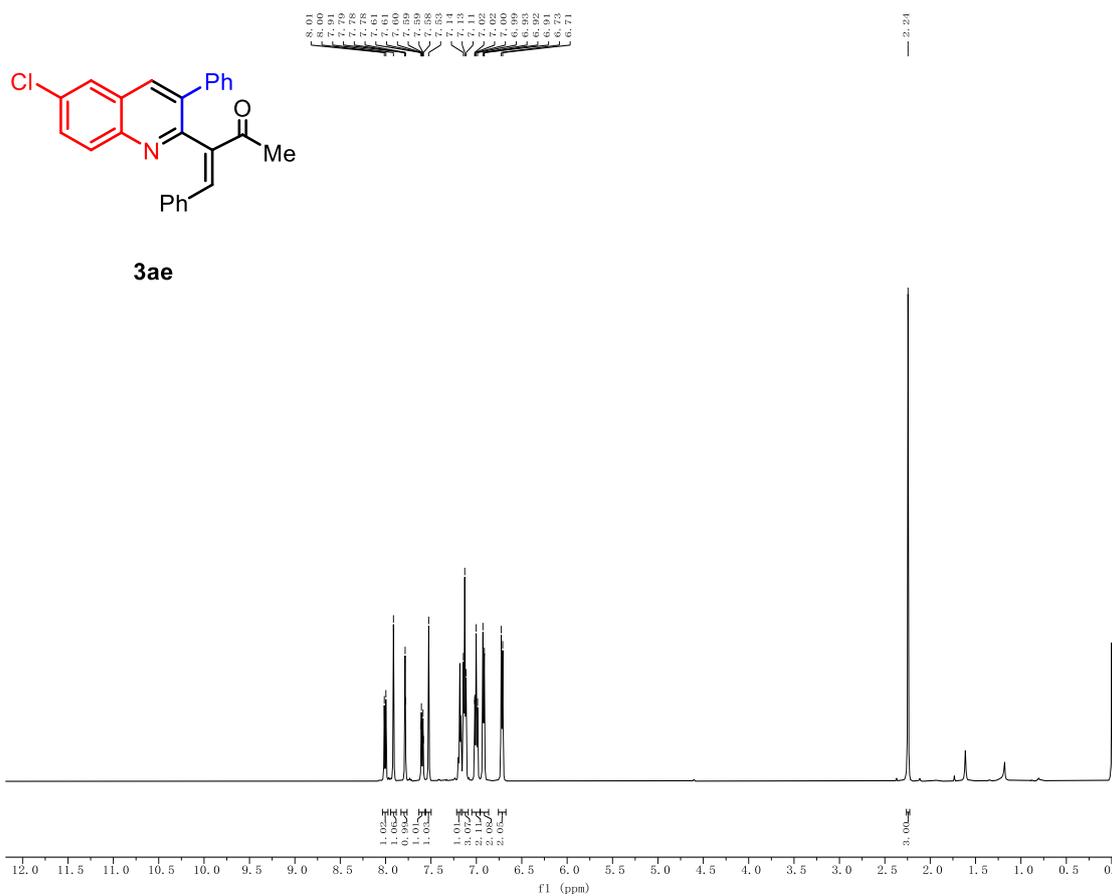
^{19}F NMR of **3ad** (471 MHz, CDCl_3)



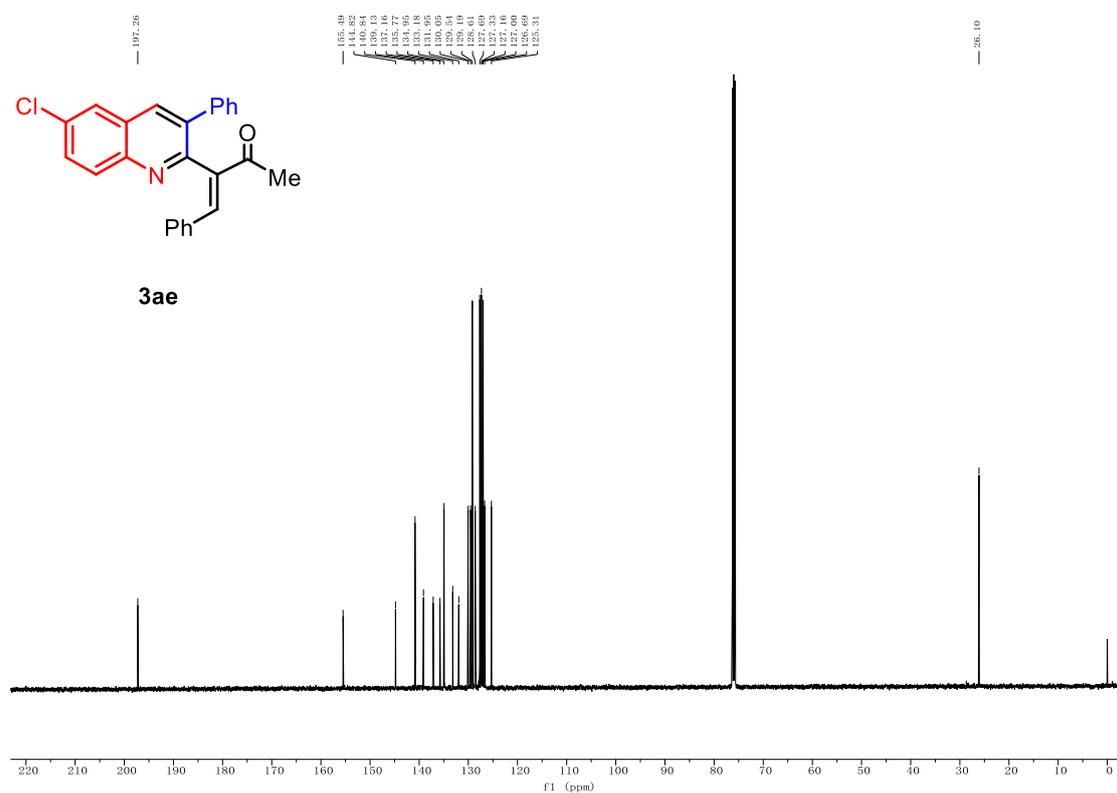
3ad



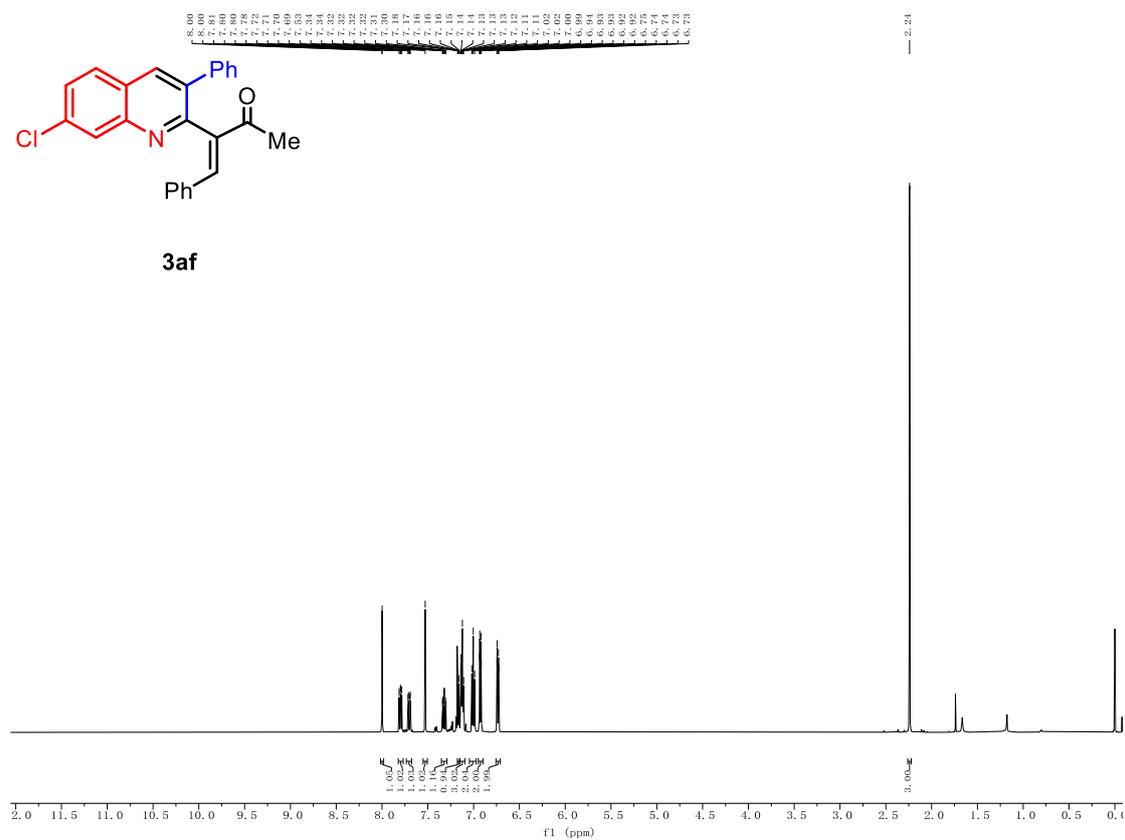
^1H NMR of **3ae** (500 MHz, CDCl_3)



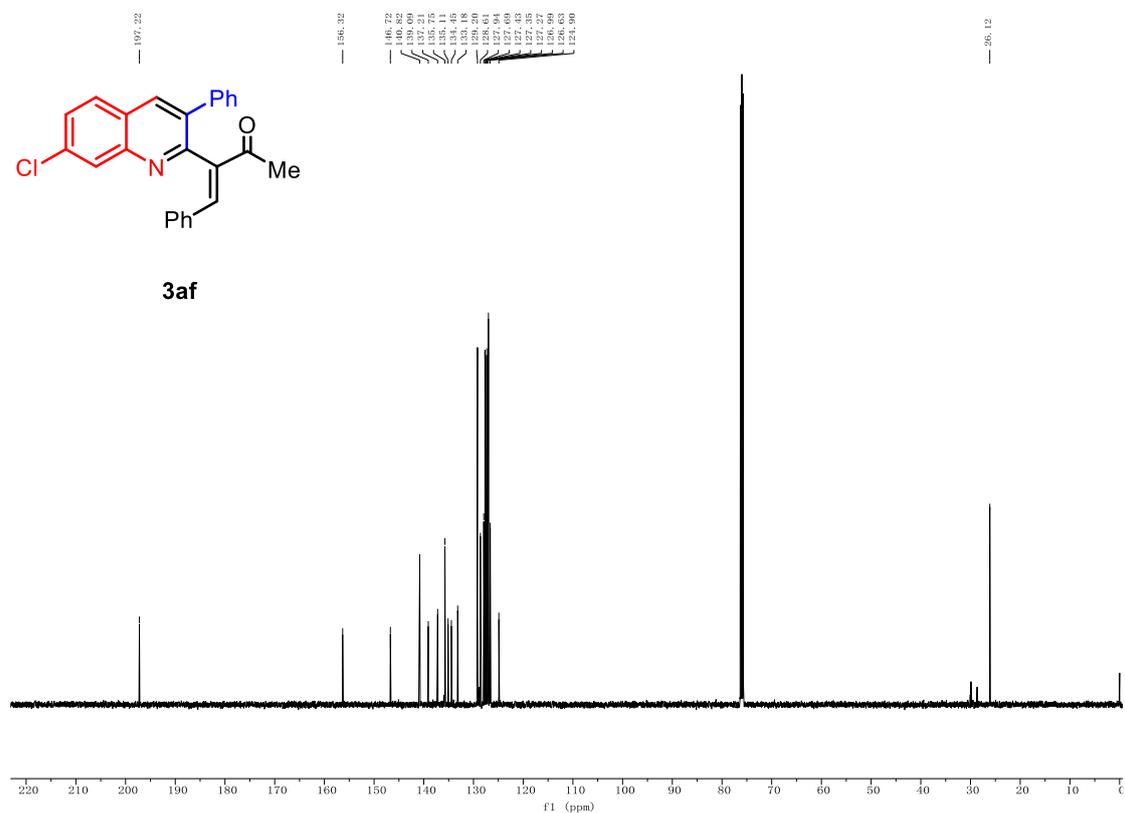
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ae** (126 MHz, CDCl_3)



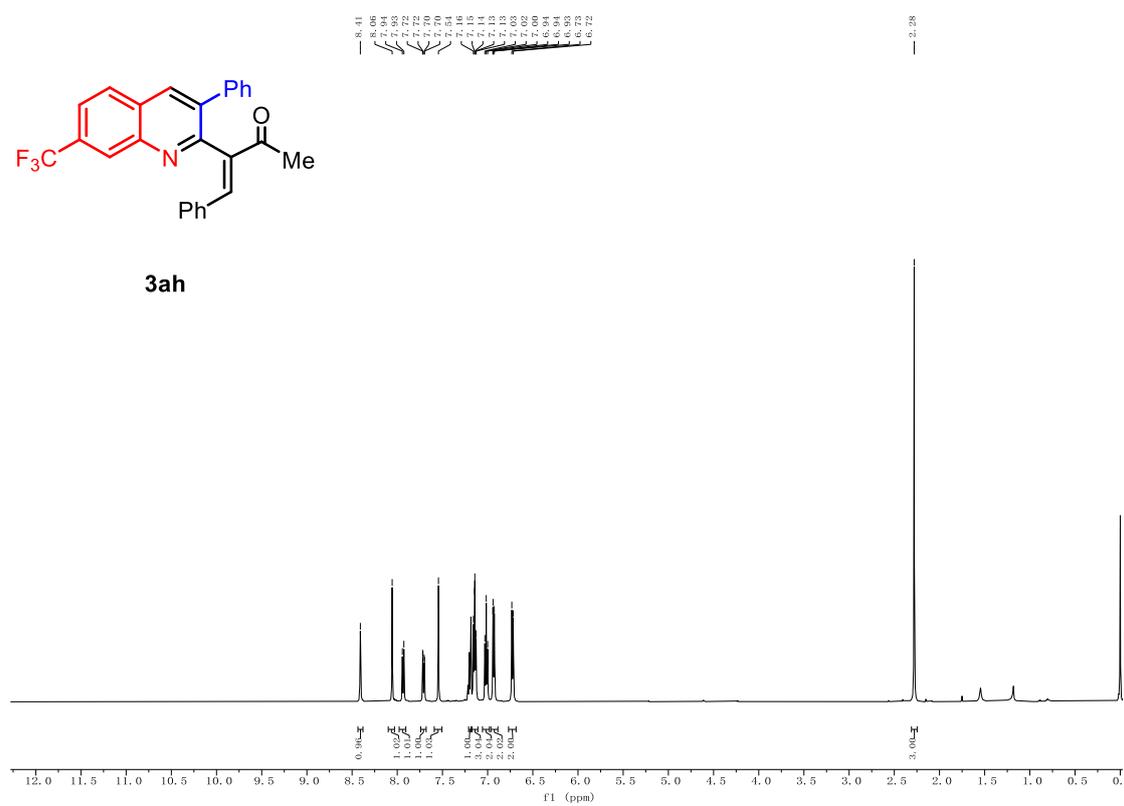
^1H NMR of **3af** (500 MHz, CDCl_3)



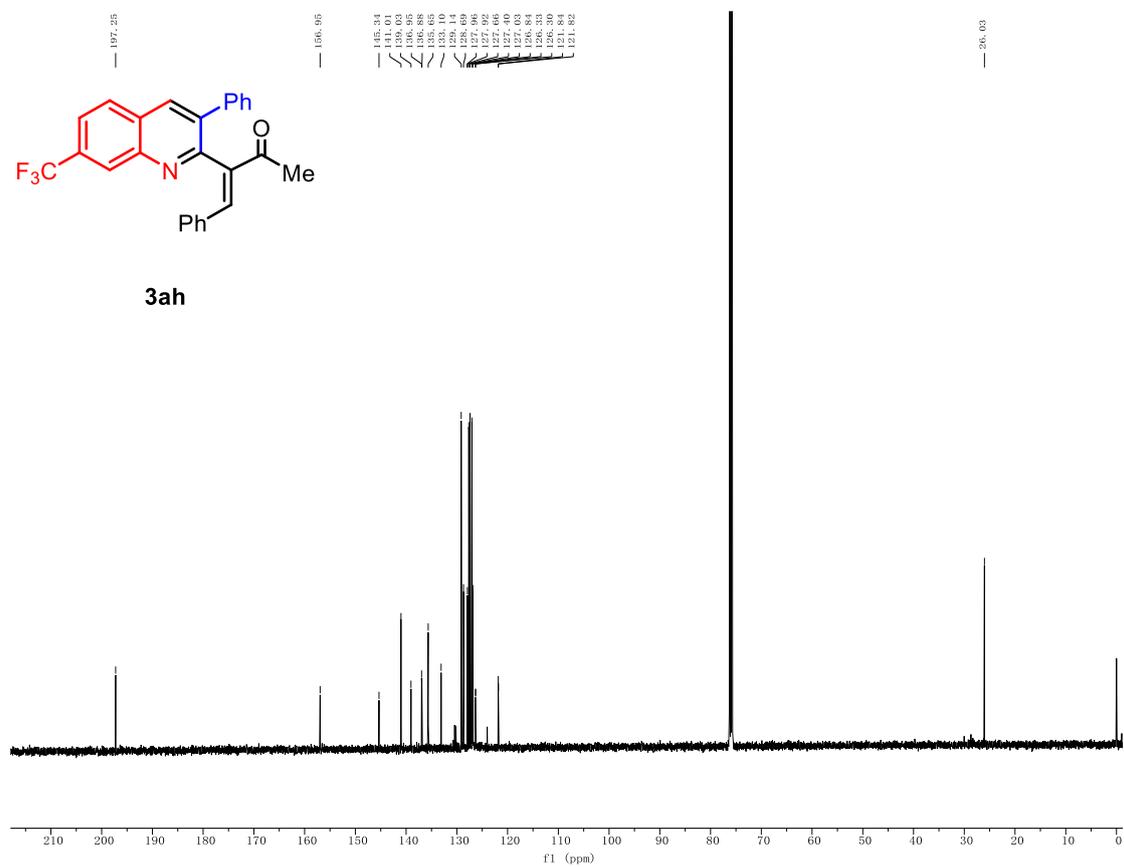
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3af** (126 MHz, CDCl_3)



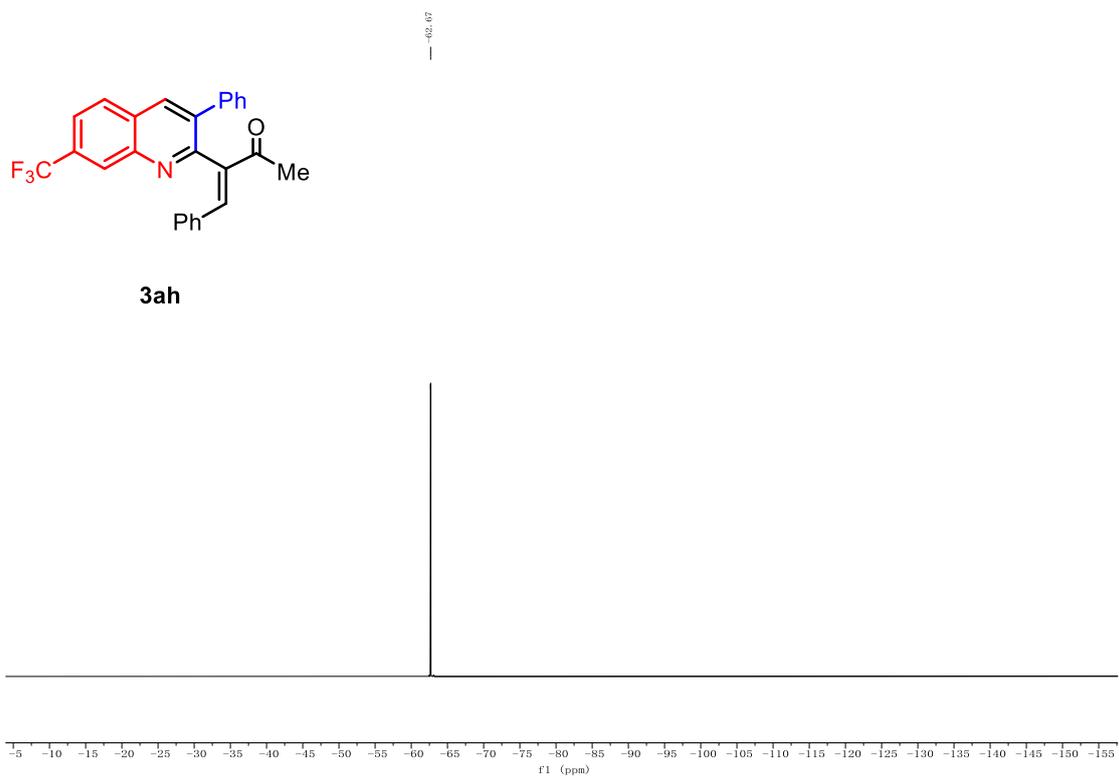
^1H NMR of **3ah** (500 MHz, CDCl_3)



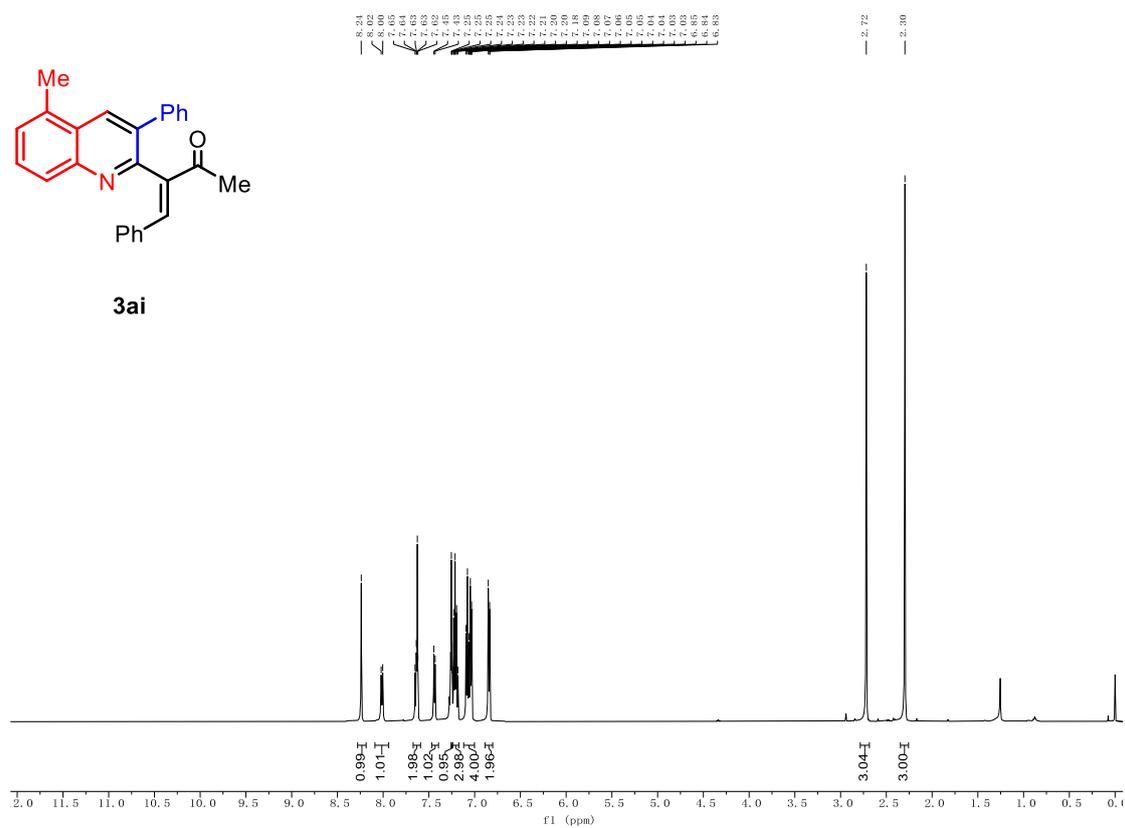
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ah** (126 MHz, CDCl_3)



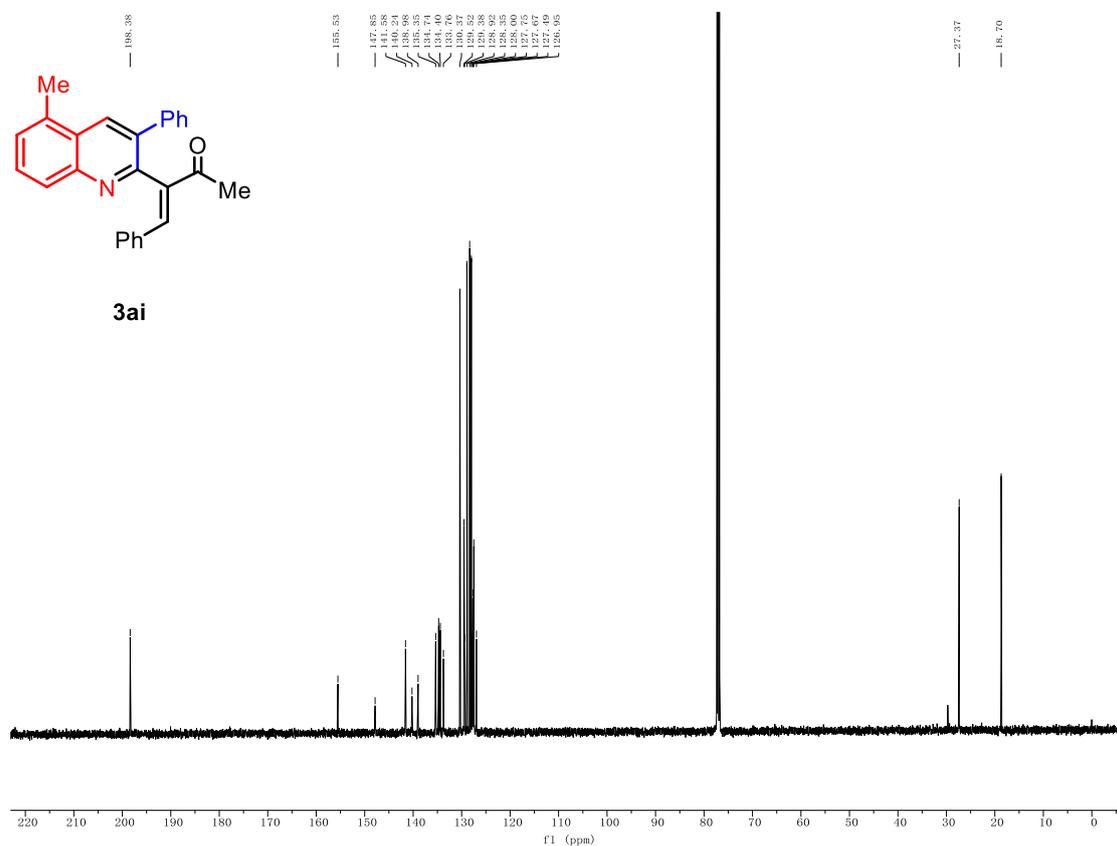
^{19}F NMR of **3ah** (471 MHz, CDCl_3)



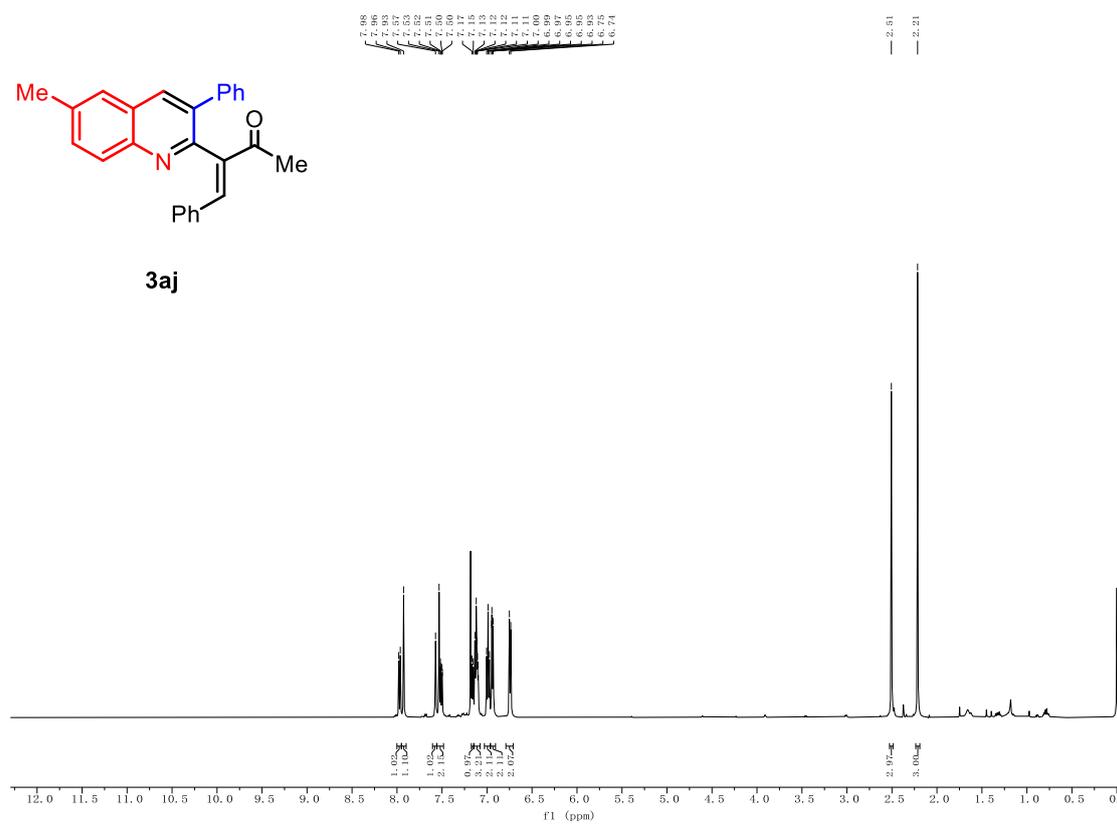
^1H NMR of **3ai** (500 MHz, CDCl_3)



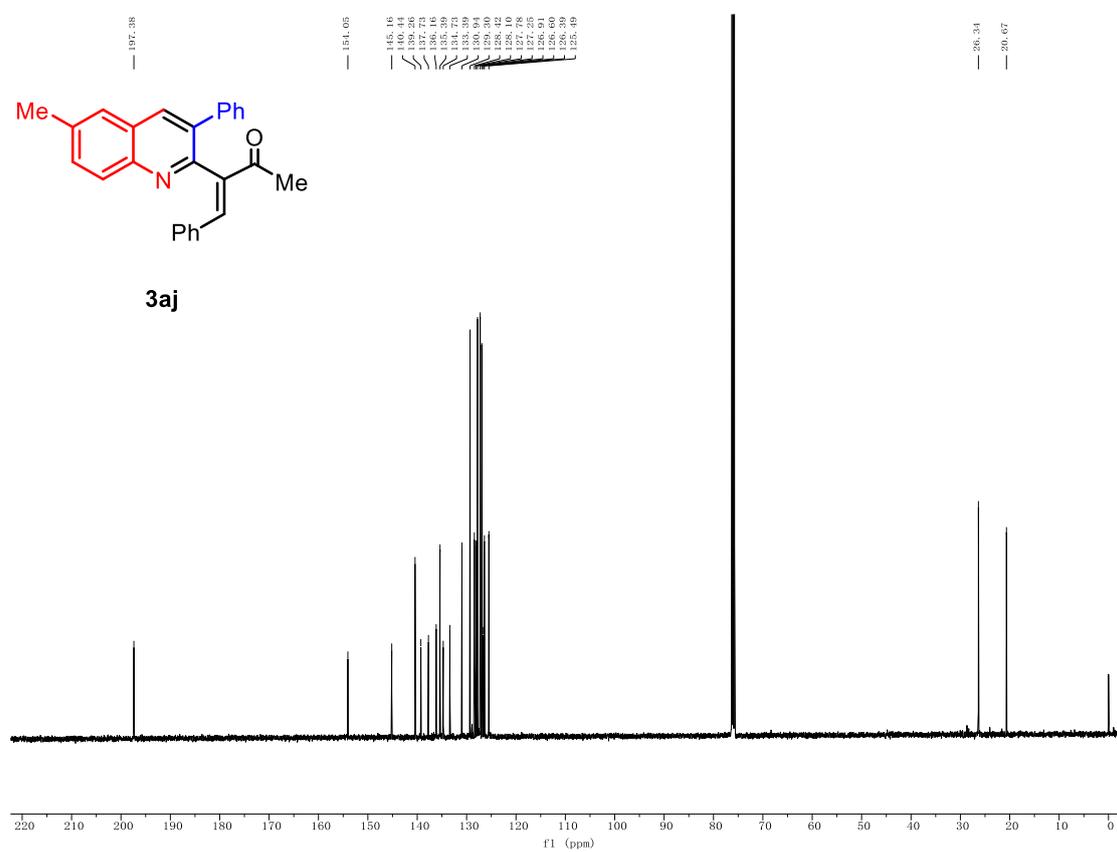
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ai** (126 MHz, CDCl_3)



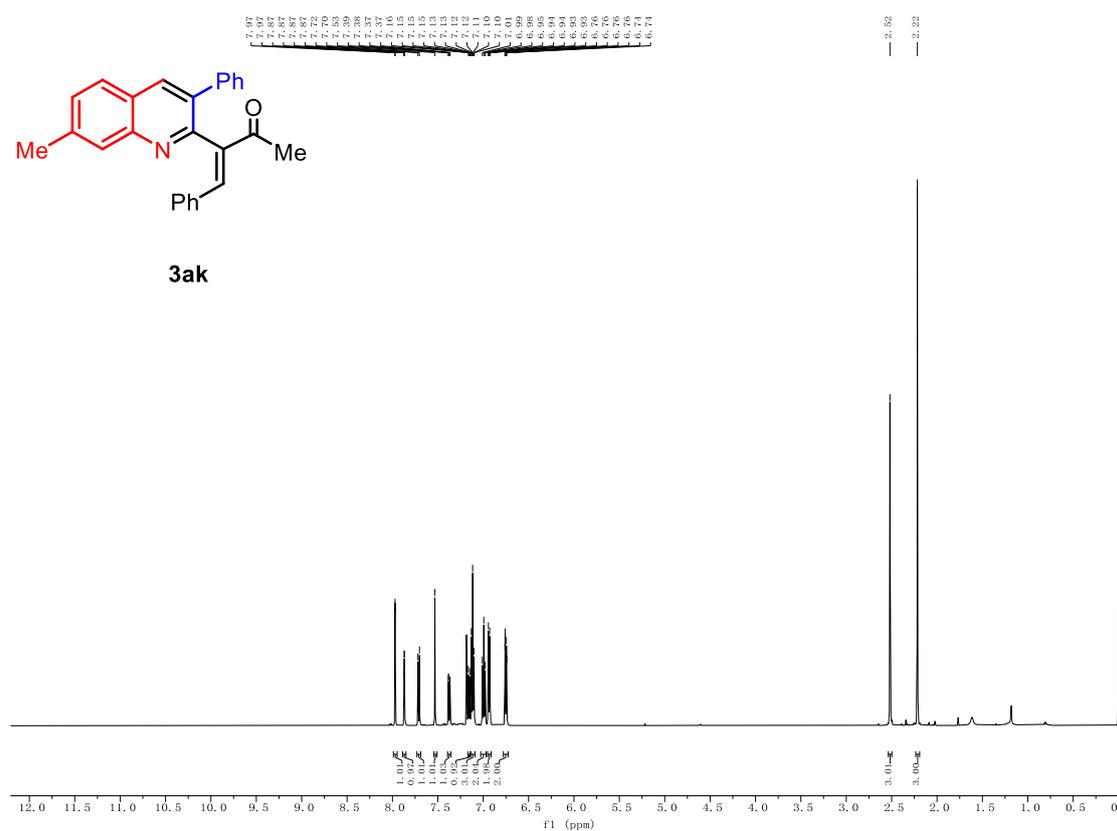
^1H NMR of **3aj** (500 MHz, CDCl_3)



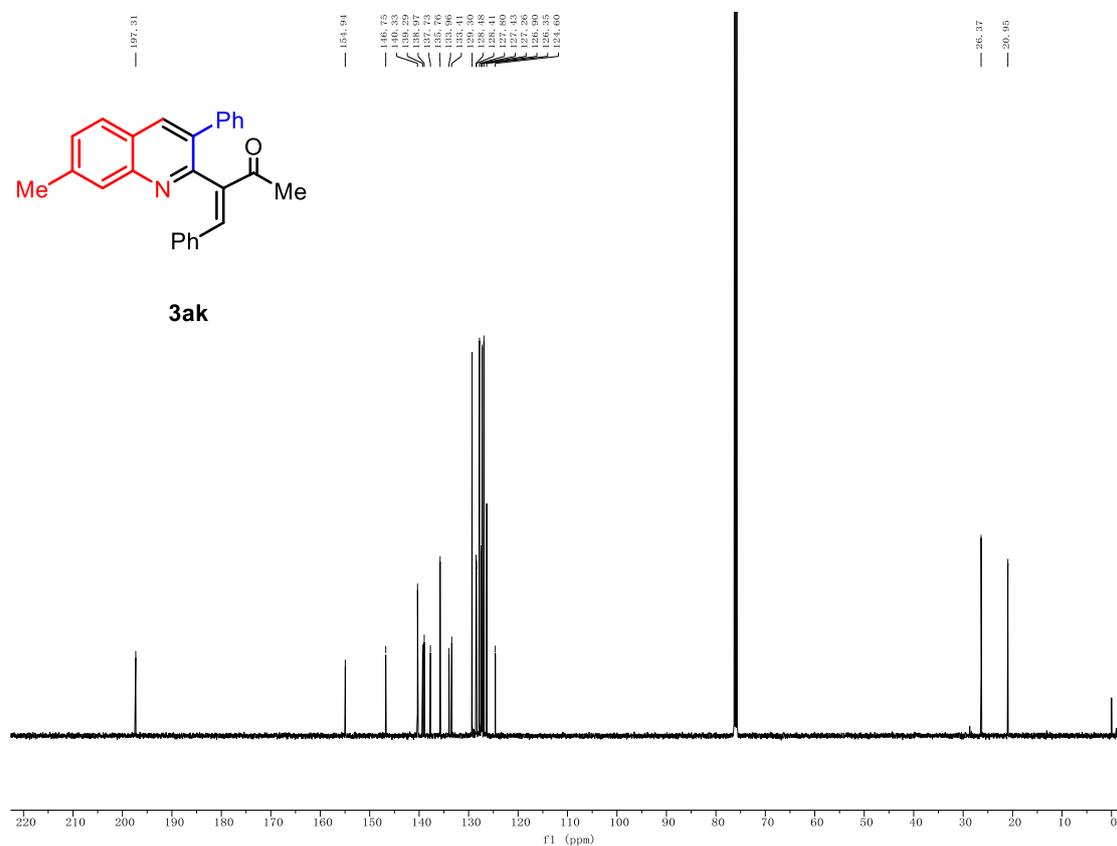
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3aj** (126 MHz, CDCl_3)



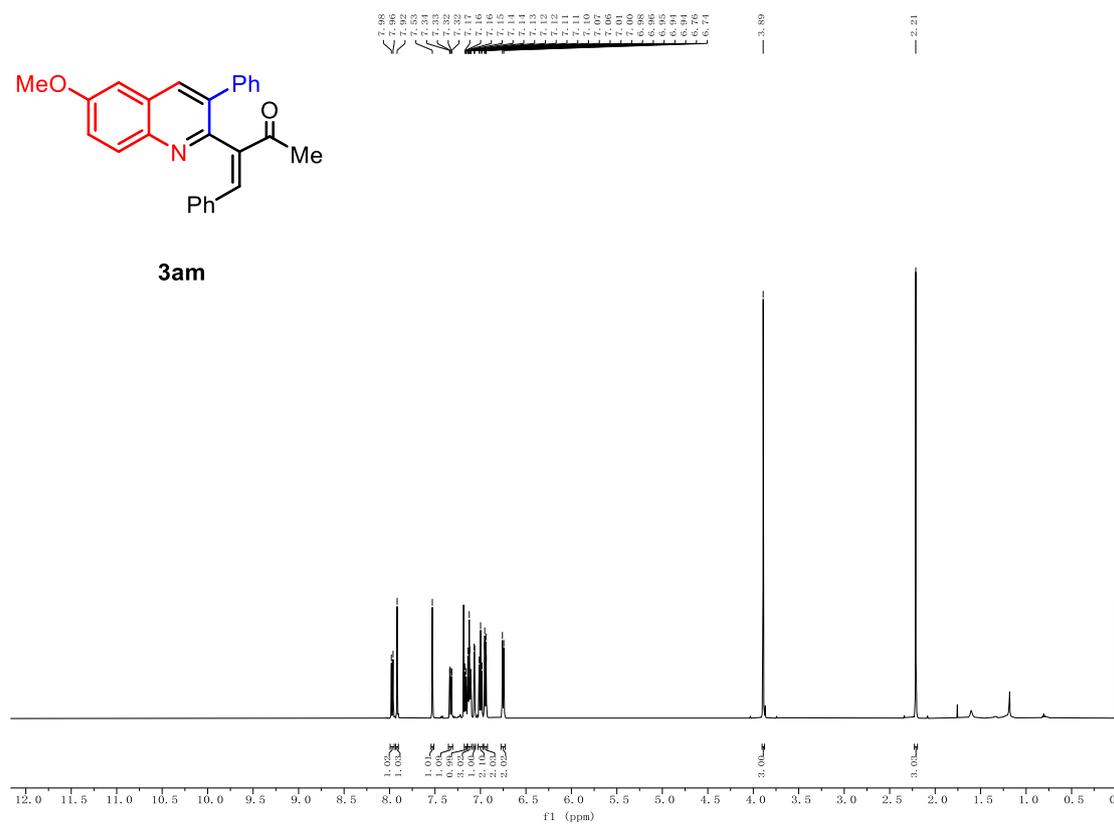
^1H NMR of **3ak** (500 MHz, CDCl_3)



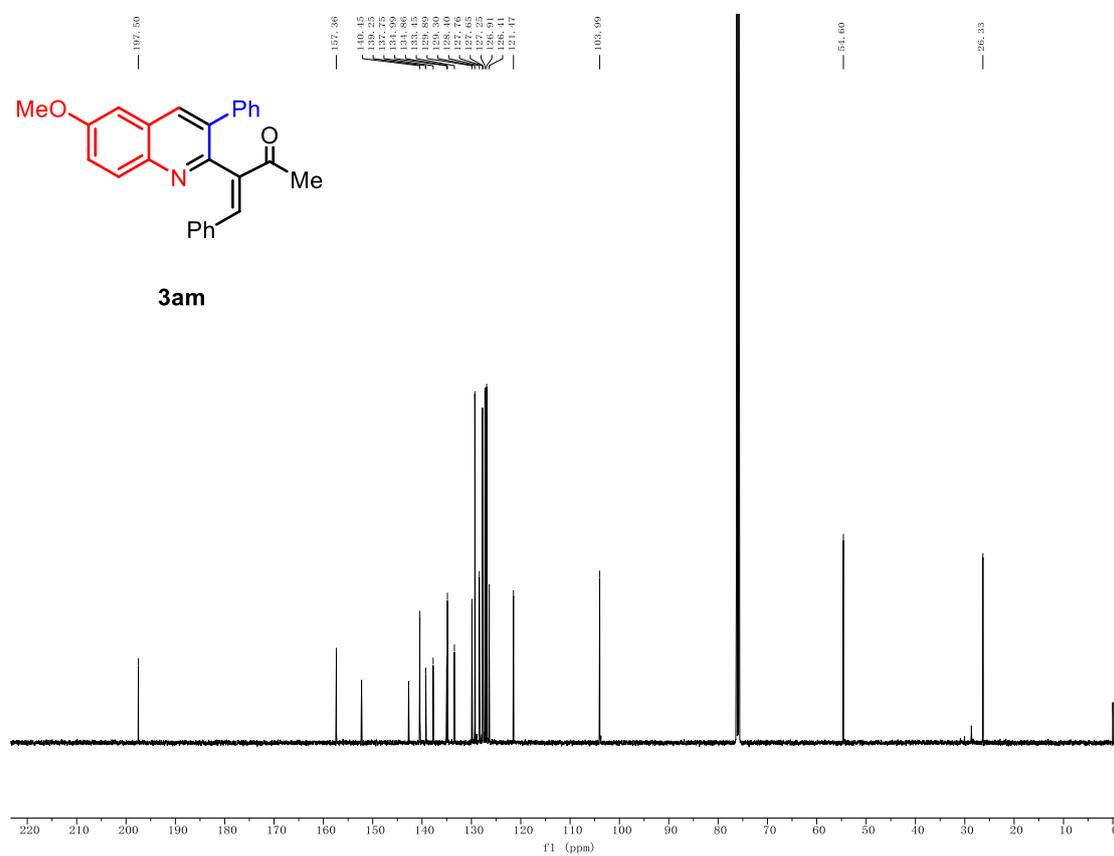
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ak** (126 MHz, CDCl_3)



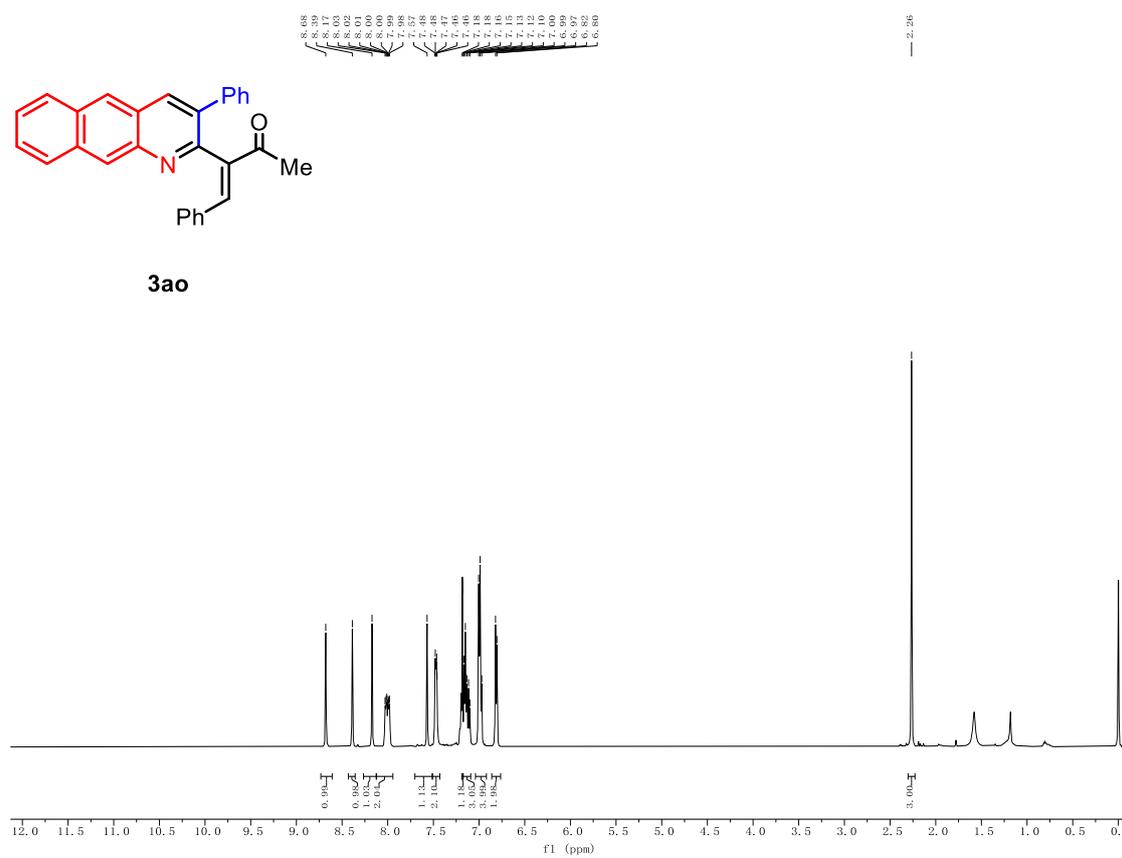
^1H NMR of **3am** (500 MHz, CDCl_3)



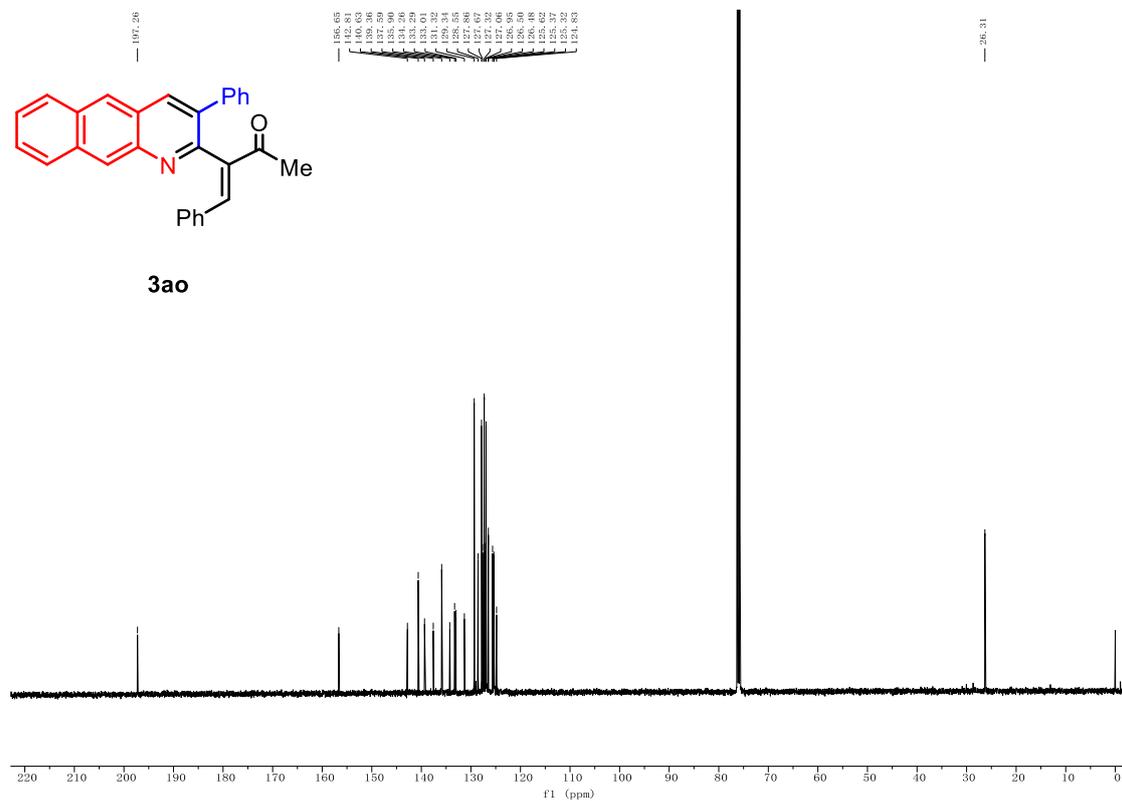
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3am** (126 MHz, CDCl_3)



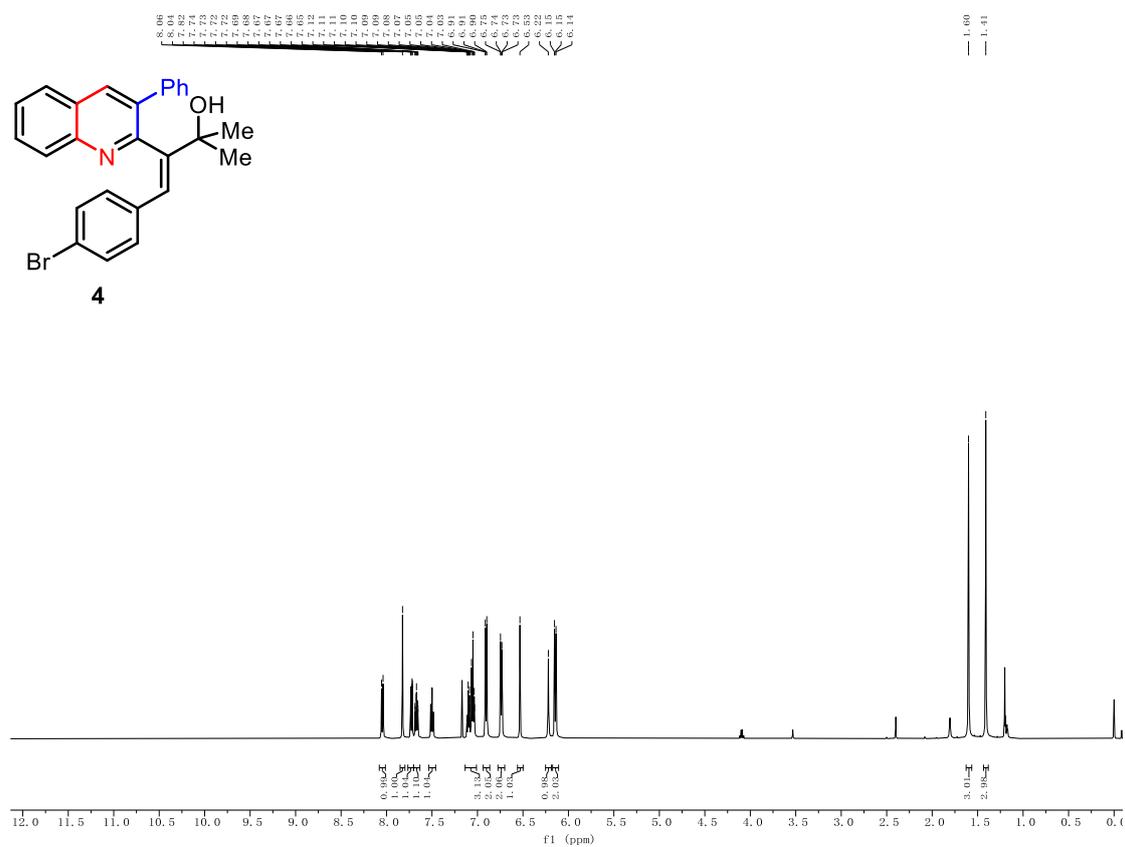
^1H NMR of **3ao** (500 MHz, CDCl_3)



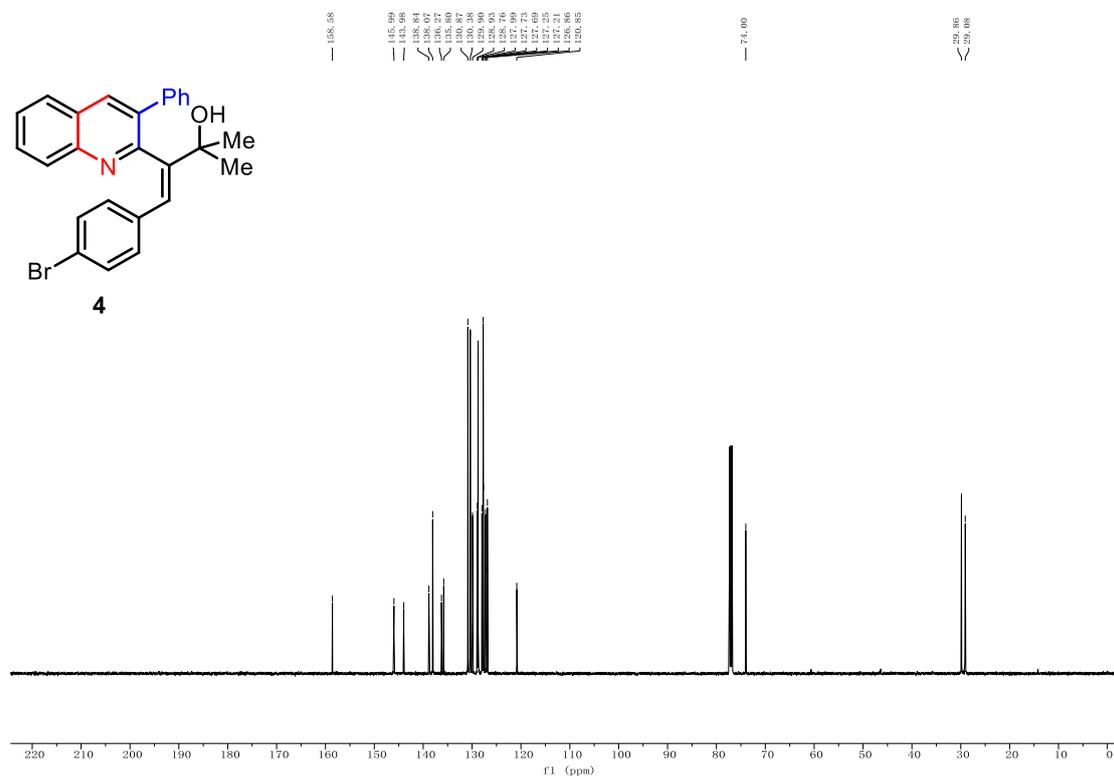
$^{13}\text{C}\{^1\text{H}\}$ NMR of **3ao** (126 MHz, CDCl_3)



^1H NMR of **4** (500 MHz, CDCl_3)

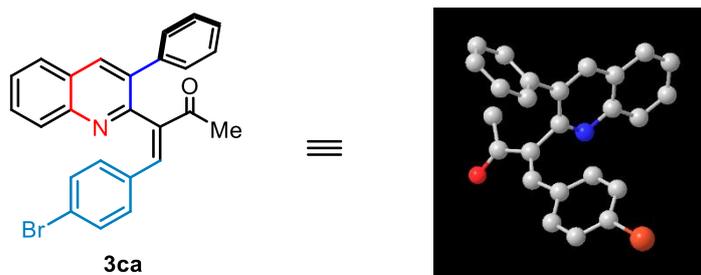


$^{13}\text{C}\{^1\text{H}\}$ NMR of **4** (126 MHz, CDCl_3)



X-Ray Crystallographic Data

X-Ray Crystallography Data Crystallographic data for the product **3ca** has been deposited with the Cambridge Crystallographic Data Centre as deposition number CCDC 2481110. These data can be obtained free of charge via www.ccdc.cam.ac.uk/data_request/cif, or by emailing data_request@ccdc.cam.ac.uk, or by contacting The Cambridge Crystallographic Data Centre, 12, Union Road, Cambridge CB2 1EZ, UK; fax: +44 1223 336033.



Single crystals suitable for X-ray diffraction were obtained by slow evaporation of the solvent from ethyl acetate/ petroleum ether(V/V=1/3) at RT. X-ray structure of complex **3ca** with 50% ellipsoid probability Crystal data.

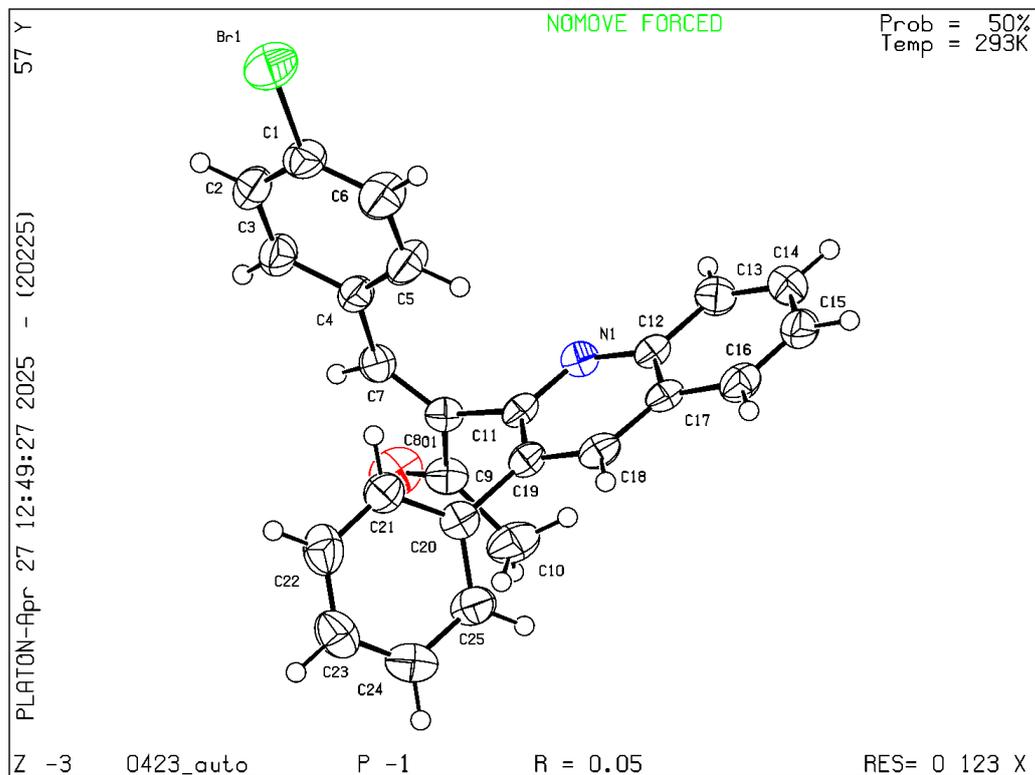


Figure S1. ORTEP view of the compound **3ca** with thermal ellipsoids drawn at the 50% probability level

Table S1 Crystal data and structure refinement for 3ca.

Identification code	3ca
Empirical formula	C ₂₅ H ₁₈ BrNO
Formula weight	428.31
Temperature/K	293
Crystal system	triclinic
Space group	P-1
a/Å	9.9195(7)
b/Å	10.2361(6)
c/Å	10.9376(8)
α/°	106.469(6)
β/°	105.026(6)
γ/°	103.433(6)
Volume/Å ³	970.91(12)
Z	2
ρ _{calc} /cm ³	1.465
μ/mm ⁻¹	2.132
F(000)	436.0
Crystal size/mm ³	0.06 × 0.05 × 0.05
Radiation	MoKα (λ = 0.71073)
2θ range for data collection/°	6.598 to 58.856
Index ranges	-13 ≤ h ≤ 13, -14 ≤ k ≤ 13, -13 ≤ l ≤ 15
Reflections collected	10043
Independent reflections	4509 [R _{int} = 0.0309, R _{sigma} = 0.0547]
Data/restraints/parameters	4509/0/254
Goodness-of-fit on F ²	1.008
Final R indexes [I >= 2σ (I)]	R ₁ = 0.0507, wR ₂ = 0.1100
Final R indexes [all data]	R ₁ = 0.0930, wR ₂ = 0.1318
Largest diff. peak/hole / e Å ⁻³	0.46/-0.78