

Supporting Information

Enantioselectivity Synthesis of Isoquinolin-1-one Derivatives with C–N Axial Chirality via Cobalt-Catalyzed Oxidative Formal (4+2) Cycloaddition: Light or Not

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1. General Information

¹H NMR spectra were recorded on 400 MHz spectrophotometers. Chemical shifts (δ) are reported in ppm from the resonance of tetramethyl silane as the internal standard (TMS: 0.00 ppm). Data are reported as follows: chemical shift, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constants (Hz) and integration. All NMR spectra were recorded on a Bruker spectrometer at 400 MHz (¹H NMR), 100 MHz (¹³C NMR), 376 MHz (¹⁹F NMR). HRMS was recorded on Waters GCT Premier ESI-TOF. Enantiomeric excesses (ee) values were determined by chiral HPLC with chiral AD-H, AS-H, IA-H, IG-H, OD-H columns with hexane and *i*-PrOH as eluent. Optical rotations were measured with a polarimeter. $[\alpha]_D$ values are reported at a given temperature (°C) in degrees cm²/g with concentration in mg/mL. Unless otherwise noted, all reactions were carried out under an atmosphere of air in oven-dried glassware. The photoreactor used for the reaction is a multi-channel photoreactor (Figure S1) independently developed by us (32 reaction holes, each hole corresponds to a 5 W LED (456 nm or 390 nm), and the light intensity is about 100 mw/cm²; Patent No CN201921741216.8).

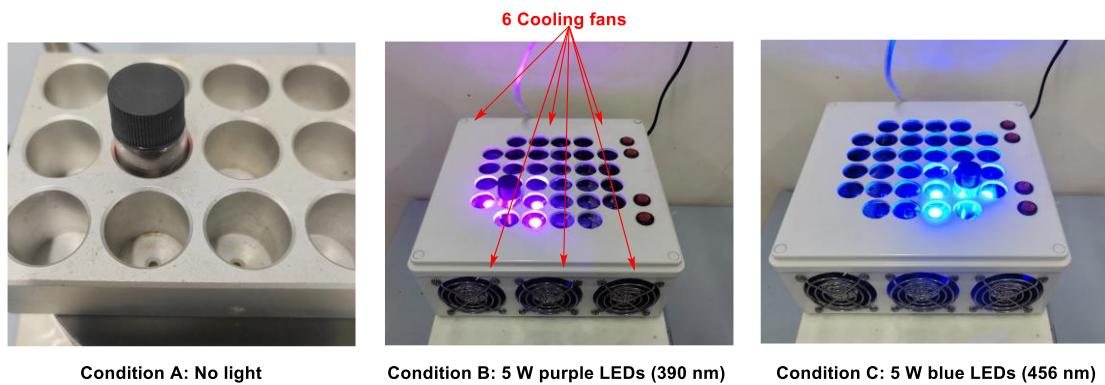
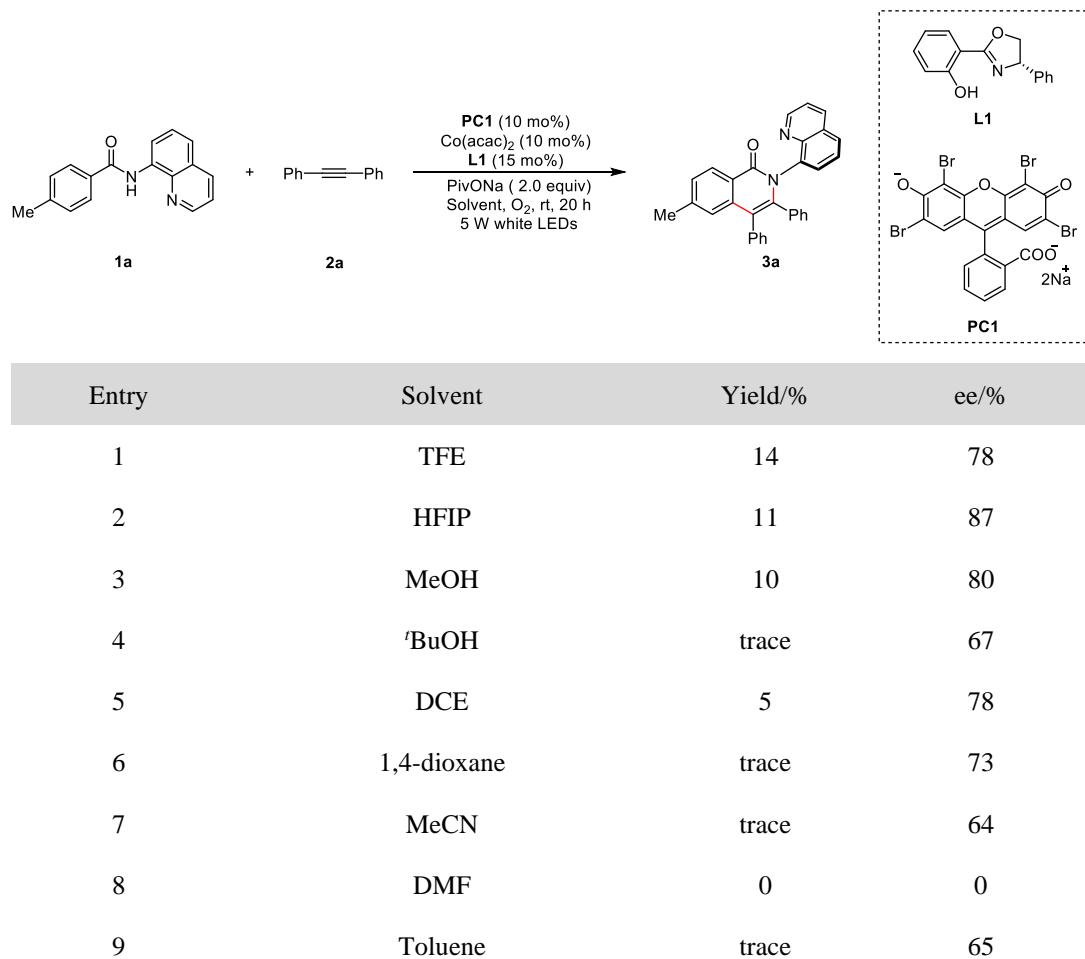


Figure S1. Multi-channel photoreactor and three reaction devices with different conditions

Analytical thin layer chromatography was performed using Qingdao Puke Parting Materials Co. silica gel plates (Silicagel 60 F254). Flash column chromatography was performed using 200-300 mesh silica gel. Reagents, unless otherwise noted, were used as supplied from commercial sources without further purification. Anhydrous solvent (DCM, MeCN, Toluene, MeOH, DMF) were taken from JC-Meyer solvent purification system. Ligands,^[1-2] amides,^[3] alkynes^[4-5] were prepared according to literature.

2. Details for Condition Optimization

Table S1. The effect of solvent.^a



The reaction scheme shows the conversion of compound **1a** (2-((2-methylphenyl)amino)-5,6,7,8-tetrahydronaphthalene-1,4-dione) and compound **2a** (bis(phenyl)acetylene) in the presence of **PC1** (10 mol%), **Co(acac)₂** (10 mol%), and **L1** (15 mol%) to product **3a** (2-((2-methylphenyl)amino)-5-phenyl-6,7,8,9-tetrahydronaphthalene-1,4-dione). The reaction conditions are PivONa (2.0 equiv), Solvent, O₂, rt, 20 h, 5 W white LEDs. The structure of **L1** is a chiral phosphine ligand, and the structure of **PC1** is a cobalt complex with a chiral phosphine ligand and a sodium salt.

Entry	Solvent	Yield/%	ee/%
1	TFE	14	78
2	HFIP	11	87
3	MeOH	10	80
4	‘BuOH	trace	67
5	DCE	5	78
6	1,4-dioxane	trace	73
7	MeCN	trace	64
8	DMF	0	0
9	Toluene	trace	65

^a **1a** (0.1 mmol), **2a** (0.15 mmol), **PC1** (10 mol%), **Co(NO₃)₃•6H₂O** (10 mol%), **L1** (15 mol%), PivONa (2.0 equiv), solvent (1 mL), O₂, under 5 W white LEDs at rt for 20 h. Yields was determined using ¹H NMR spectroscopy with 1,3,5-trimethoxybenzene as an internal standard. All the ee values in this work were determined by chiral HPLC analysis of purified products.

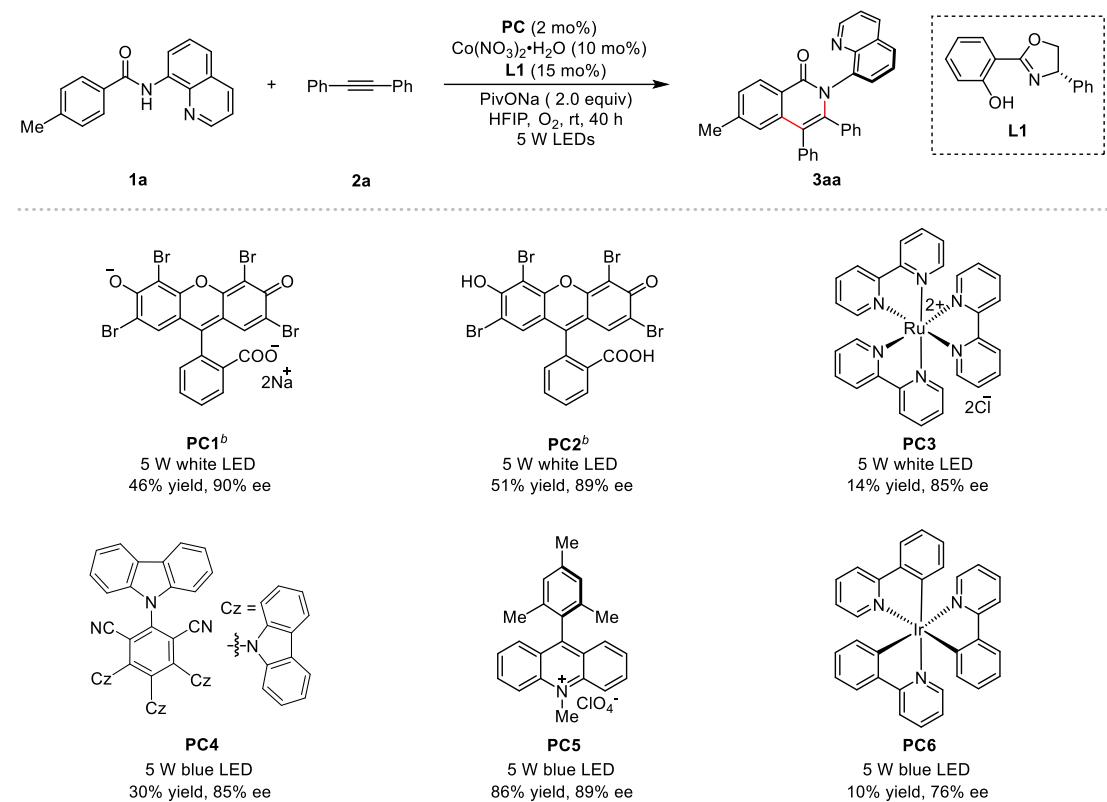
Table S2. The effect of cobalt.^a

The reaction scheme shows the conversion of compound **1a** (2-((2-methylphenyl)amino)-5-(pyridin-2-yl)benzene) and compound **2a** (Ph-C≡C-Ph) in the presence of **PC1** (10 mol%), [Co] (10 mol%), and **L1** (15 mol%) to product **3aa** (2-((2-methylphenyl)amino)-5-phenylbenzo[b]thiophene-3(2H)-one). The reaction conditions are PivONa (2.0 equiv), HFIP, O₂, rt, 40 h, 5 W white LEDs. Compound **L1** is shown as a dashed box.

Entry	[Co]	Yield/%	ee/%
1	Co(acac) ₂	16	87
2	Co(acac) ₃	17	87
3	Co(OAc) ₂ •4H ₂ O	23	88
4	Co(ClO ₄) ₂ •6H ₂ O	20	86
5	Co(NO ₃) ₂ •6H ₂ O	46	90
6	Co(BF ₄) ₂ •6H ₂ O	9	90
7	CoBr ₂	9	87

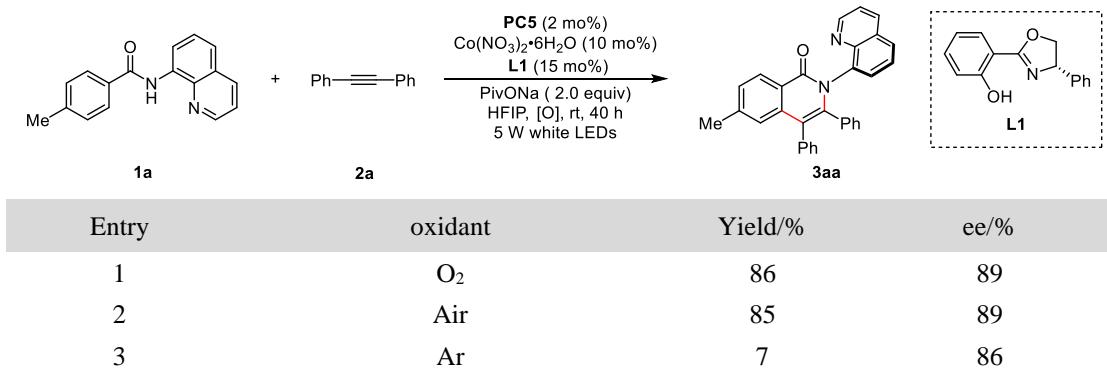
^a **1a** (0.1 mmol), **2a** (0.15 mmol), **PC1** (10 mol%), [Co] (10 mol%), **L1** (15 mol%), PivONa (2.0 equiv), HFIP (1 mL), O₂, under 5 W white LEDs at rt for 40 h. Yields was determined using ¹H NMR spectroscopy with 1,3,5-trimethoxybenzene as an internal standard. All the ee values in this work were determined by chiral HPLC analysis of purified products.

Table S3. The effect of photocatalyst.^a



^a **1a** (0.1 mmol), **2a** (0.15 mmol), PC (2 mol%), Co(NO₃)₂•6H₂O (10 mol%), **L1** (15 mol%), PivONa (2.0 equiv), HFIP (1 mL), O₂, under 5 W LEDs at rt for 40 h. Yields was determined using ¹H NMR spectroscopy with 1,3,5-trimethoxybenzene as an internal standard. All the ee values in this work were determined by chiral HPLC analysis of purified products. ^b Using **PC** (10 mol%).

Table S4. The effect of oxidant.^a



^a **1a** (0.1 mmol), **2a** (0.15 mmol), Acr-Mes⁺ClO₄⁻ (2 mol%), [Co] (10 mol%), **L1** (15 mol%), PivONa (2.0 equiv), HFIP (1 mL), under 5 W LEDs at rt for 40 h. Yields was determined using ¹H NMR spectroscopy with 1,3,5-trimethoxybenzene as an internal standard. All the ee values in this work were determined by chiral HPLC analysis of purified products.

Table S5. The effect of temperature.^a

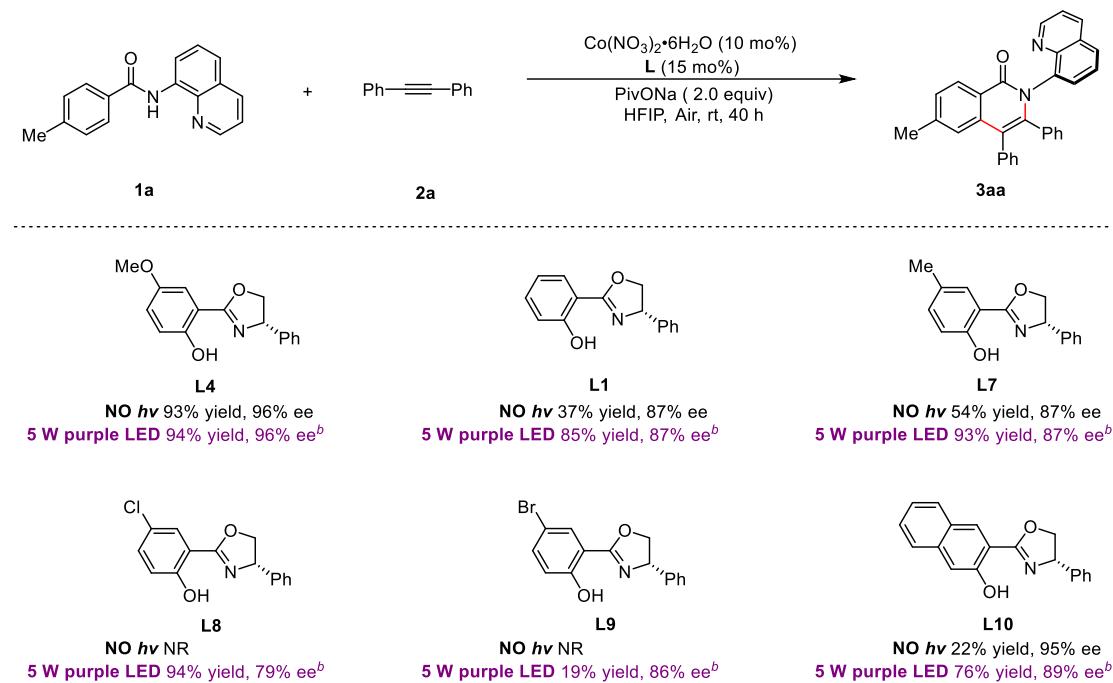
Entry	T/°C	Yield/%	ee/%
1	rt	86	89
2	15	83	89
3	0	38	90

^a **1a** (0.1 mmol), **2a** (0.15 mmol), Acr-Mes⁺ClO₄⁻ (2 mol%), Co(NO₃)₂·6H₂O (10 mol%), **L1** (15 mol%), PivONa (2.0 equiv), HFIP (1 mL), air, under 5 W blue LEDs at T °C for 40 h. Yields was determined using ¹H NMR spectroscopy with 1,3,5-trimethoxybenzene as an internal standard. All the ee values in this work were determined by chiral HPLC analysis of purified products.

Table S6. The effect of ligand.^a

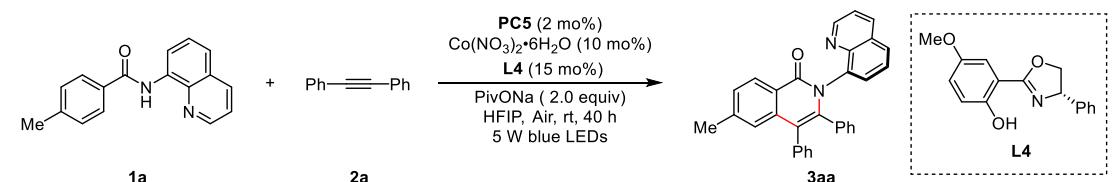
^a **1a** (0.1 mmol), **2a** (0.15 mmol), Acr-Mes⁺ClO₄⁻ (2 mol%), Co(NO₃)₂·6H₂O (10 mol%), **L** (15 mol%), PivONa (2.0 equiv), HFIP (1 mL), air, under 5 W blue LEDs at rt for 40 h. Yields was determined using ¹H NMR spectroscopy with 1,3,5-trimethoxybenzene as an internal standard. All the ee values in this work were determined by chiral HPLC analysis of purified products.

Table S7. The effect of ligand under 5 W purple LEDs or not $h\nu$.^a



^a **1a** (0.1 mmol), **2a** (0.15 mmol), $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ (10 mol%), **L** (15 mol%), PivONa (2.0 equiv), HFIP (1 mL), air, under 5 W purple LEDs at rt for 40 h. Yields was determined using ¹H NMR spectroscopy with 1,3,5-trimethoxybenzene as an internal standard. All the ee values in this work were determined by chiral HPLC analysis of purified products. ^b Under 5 W purple LED.

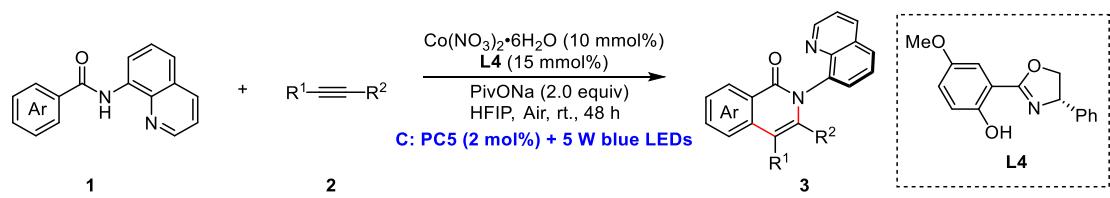
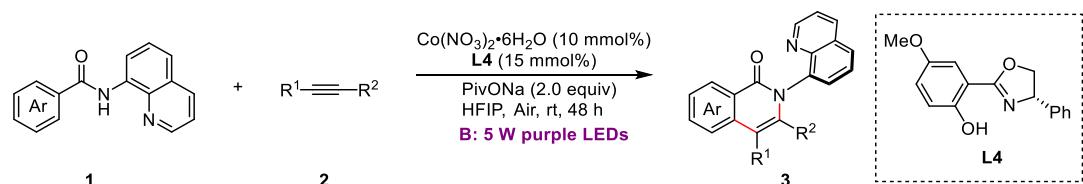
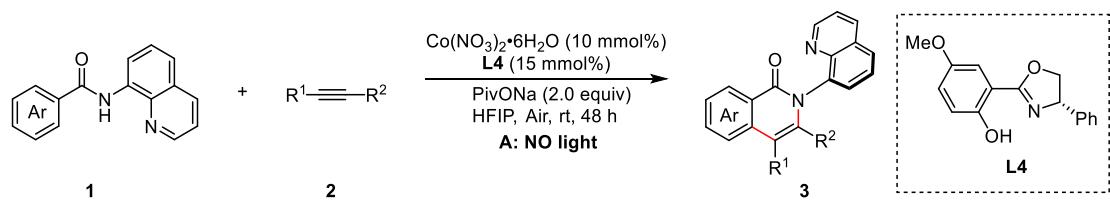
Table S8. Control experiments.^a



Entry	deviation from standard conditions	Yield/%	ee/%
1	none	94	95
2	no light/ $\text{Acr-Mes}^+\text{ClO}_4^-$	93	96
3	no $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$	0	0
4	no L4	55	0
5	No PivONa	94	89
6	degassed	trace	95

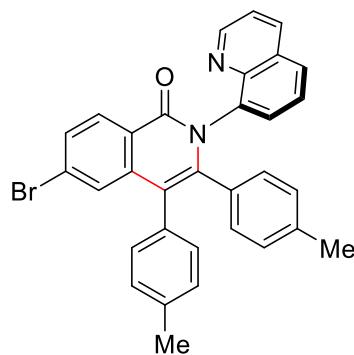
^a **1a** (0.1 mmol), **2a** (0.15 mmol), $\text{Acr-Mes}^+\text{ClO}_4^-$ (2 mol%), $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ (10 mol%), **L4** (15 mol%), PivONa (2.0 equiv), HFIP (1 mL), air, under 5 W blue LEDs at rt for 40 h. Yields was determined using ¹H NMR spectroscopy with 1,3,5-trimethoxybenzene as an internal standard. All the ee values in this work were determined by chiral HPLC analysis of purified products.

3. General Procedures and Characterization Data of Products

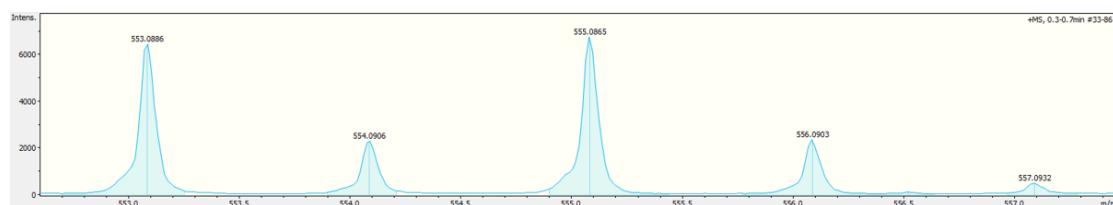


residue was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (3:1-1.5:1) as eluent to give corresponding product **3**.

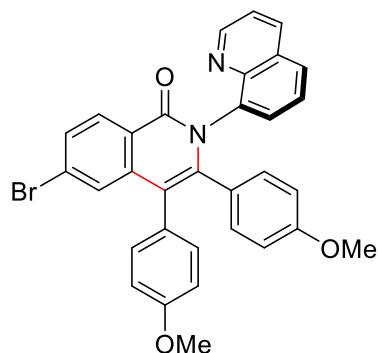
(R)-6-Bromo-2-(quinolin-8-yl)-3,4-di-p-tolylisoquinolin-1(2H)-one (3ab)



Condition C, White solid, mp: 310-311 °C, 103.3 mg, 97% yield, $[\alpha]_D^{25} = +58.0$ (c = 0.1 in CHCl_3), 94% ee, determined by HPLC analysis (Chiralpak AZ-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 19.68 min, t_R (major) = 22.82 min. **¹H NMR** (400 MHz, CDCl_3) δ 8.90 (d, $J = 3.5$ Hz, 1H), 8.40 (d, $J = 8.5$ Hz, 1H), 8.00 (d, $J = 8.2$ Hz, 1H), 7.62-7.52 (m, 2H), 7.48-7.29 (m, 4H), 7.10 (d, $J = 7.7$ Hz, 1H), 7.05-6.99 (m, 2H), 6.95 (d, $J = 7.7$ Hz, 1H), 6.83 (d, $J = 7.7$ Hz, 1H), 6.63-6.57 (m, 2H), 6.26 (d, $J = 7.8$ Hz, 1H), 2.24 (s, 3H), 1.90 (s, 3H). **¹³C NMR** (101 MHz, CDCl_3) δ 162.4, 150.8, 144.7, 143.5, 140.0, 137.6, 136.9, 136.5, 136.1, 132.8, 131.8, 131.43 (d, $J = 17.3$ Hz), 130.7, 130.37 (d, $J = 19.6$ Hz), 129.8, 129.3, 129.1, 128.95-128.50 (m), 128.1, 127.9, 127.5, 127.3, 125.8, 124.2, 121.6, 117.6, 21.3. **HRMS** (ESI) for $\text{C}_{32}\text{H}_{23}\text{BrN}_2\text{NaO}$ [M+Na]⁺ calcd: 553.0886 (⁷⁹Br) and 555.0871 (⁸¹Br); found: 553.0886 (⁷⁹Br) and 555.0865 (⁸¹Br).

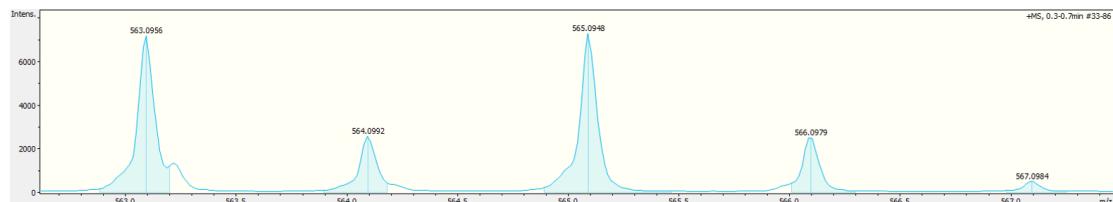


(R)-6-Bromo-3,4-bis(4-methoxyphenyl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ac)



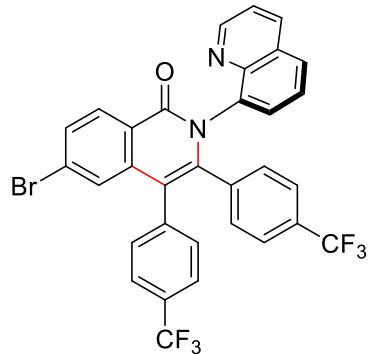
Condition C, White solid, mp: 318-319 °C, 88.0 mg, 78% yield, $[\alpha]_D^{25} = +59.6$ (c = 0.1 in CHCl_3), 97% ee, determined by HPLC analysis (Chiralpak AS-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 56.51 min, t_R (major) = 62.96 min. **¹H NMR** (400 MHz, CDCl_3) δ 8.89 (s, 1H), 8.39 (d, $J = 8.4$ Hz, 1H), 8.03 (d, $J = 8.0$ Hz, 1H), 7.65 (d, $J = 7.9$ Hz, 1H), 7.58 (d, $J = 8.2$ Hz, 1H), 7.46-7.34 (m, 4H), 7.10 (d, $J = 8.1$ Hz, 1H), 7.05 (d, $J = 8.2$ Hz, 1H), 6.85 (d, $J = 8.1$ Hz, 1H), 6.79 (d, $J = 7.9$ Hz, 1H), 6.72 (d, $J = 7.9$ Hz, 1H), 6.61 (d, $J = 8.1$ Hz, 1H), 6.36 (d, $J = 7.6$ Hz, 1H),

6.01 (d, $J = 7.7$ Hz, 1H), 3.73 (s, 3H), 3.45 (s, 3H). ^{13}C NMR (101 MHz, CDCl_3) δ 162.4, 158.3, 158.2, 150.8, 144.6, 143.4, 140.2, 137.6, 136.2, 132.8, 132.6, 131.9, 130.8, 130.7, 130.3, 129.8, 128.8, 128.7, 128.1, 127.9, 127.2, 125.9, 124.2, 121.6, 117.5, 113.7, 113.6, 112.2, 112.0, 55.2, 54.8. HRMS (ESI) for $\text{C}_{32}\text{H}_{24}\text{BrN}_2\text{O}_3$ $[\text{M}+\text{H}]^+$ calcd: 563.0965 (^{79}Br) and 565.0950 (^{81}Br); found: 563.0956 (^{79}Br) and 565.0948 (^{81}Br).

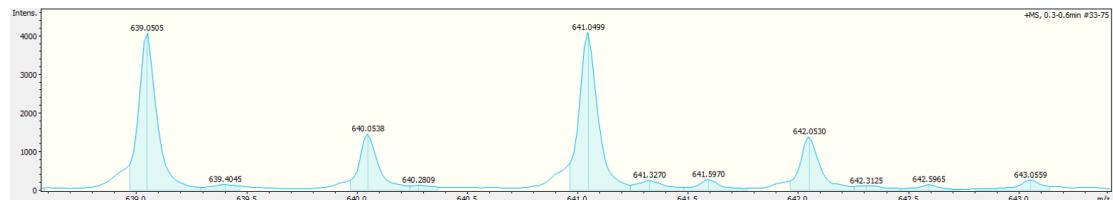


(R)-6-Bromo-2-(quinolin-8-yl)-3,4-bis(4-(trifluoromethyl)phenyl)isoquinolin-1(2H)-one

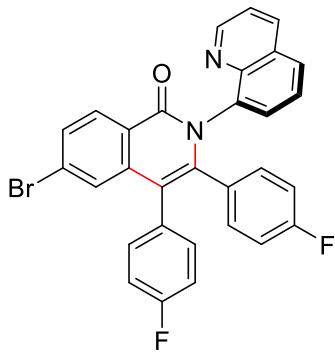
(3ad)



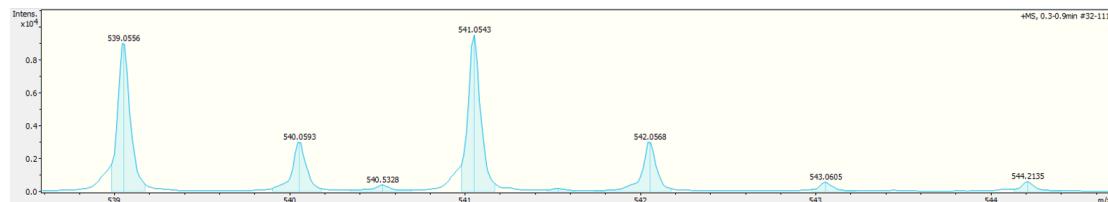
Condition C, White solid, mp: 287-288 °C, 121.5 mg, 95% yield, $[\alpha]_D^{25} = +55.6$ (c = 0.1 in CHCl_3), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 5.82 min, t_R (major) = 12.49 min. ^1H NMR (400 MHz, CDCl_3) δ 8.90 (d, $J = 3.0$ Hz, 1H), 8.43 (d, $J = 8.5$ Hz, 1H), 8.07 (d, $J = 8.1$ Hz, 1H), 7.78-7.63 (m, 2H), 7.54-7.48 (M, 3H), 7.41-7.31 (m, 5H), 7.14-7.18 (m, 2H), 6.88 (d, $J = 8.1$ Hz, 1H), 6.78 (d, $J = 8.1$ Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 162.1, 151.1, 144.3, 142.2, 139.3, 138.9, 137.8, 136.7, 136.4, 132.2, 131.9, 130.9, 130.8, 130.8, 130.6, 130.1, 129.8 (q, $J = 32.8$ Hz), 129.7 (q, $J = 32.8$ Hz), 129.4, 129.0, 128.5, 127.8, 125.9, 125.6 (q, $J = 3.5$ Hz), 125.4 (q, $J = 3.6$ Hz), 124.5, 124.0 (q, $J = 3.6$ Hz), 124.0 (q, $J = 273.3$ Hz), 123.8 (q, $J = 3.3$ Hz), 123.4 (q, $J = 273.4$ Hz), 122.0, 116.5. ^{19}F NMR (376 MHz, CDCl_3) δ -62.6, -63.1. HRMS (ESI) for $\text{C}_{32}\text{H}_{18}\text{BrF}_6\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 639.0501 (^{79}Br) and 641.0486 (^{81}Br); found: 639.0505 (^{79}Br) and 641.0499 (^{81}Br).



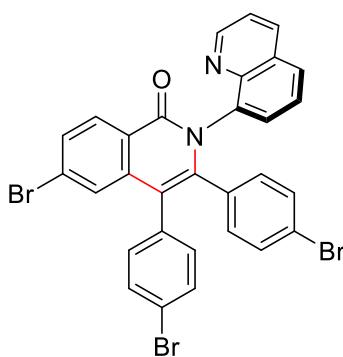
(R)-6-Bromo-3,4-bis(4-fluorophenyl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ae)



Condition C, White solid, 101.5 mg, mp: 304-305 °C, 94% yield, $[\alpha]_D^{25} = +37.8$ (c = 0.1 in CHCl_3), 97% ee, determined by HPLC analysis (Chiraldak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 15.36 min, t_R (minor) = 17.88 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.90 (d, $J = 3.6$ Hz, 1H), 8.42 (d, $J = 8.5$ Hz, 1H), 8.08 (d, $J = 8.2$ Hz, 1H), 7.70 (d, $J = 8.1$ Hz, 1H), 7.63 (d, $J = 8.5$ Hz, 1H), 7.50 (d, $J = 7.2$ Hz, 1H), 7.46-7.32 (m, 3H), 7.16-7.12 (m, 2H), 6.98-6.90 (m, 3H), 6.72-6.69 (m, 1H), 6.57-6.53 (m, 1H), 6.24-6.19 (m, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.3, 161.9 (d, $J = 247.7$ Hz), 161.6 (d, $J = 250.0$ Hz), 150.9, 144.5, 142.8, 139.6, 137.2, 136.2, 133.4 (d, $J = 8.0$ Hz), 133.2 (d, $J = 8.0$ Hz), 132.3 (d, $J = 8.2$ Hz), 131.7, 131.6 (d, $J = 8.6$ Hz), 130.8, 130.6, 130.5, 130.3, 129.1, 128.9, 128.2, 128.0, 125.9, 124.5, 121.8, 116.9, 115.6 (d, $J = 13.8$ Hz), 115.4 (d, $J = 13.8$ Hz), 114.1 (d, $J = 22.5$ Hz), 113.9 (d, $J = 23.0$ Hz). **$^{19}\text{F NMR}$** (376 MHz, CDCl_3) δ -112.8, -114.4. **HRMS (ESI)** for $\text{C}_{30}\text{H}_{18}\text{BrF}_2\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 539.0565 (^{79}Br) and 541.0549 (^{81}Br); found: 539.0556 (^{79}Br) and 541.0543 (^{81}Br).

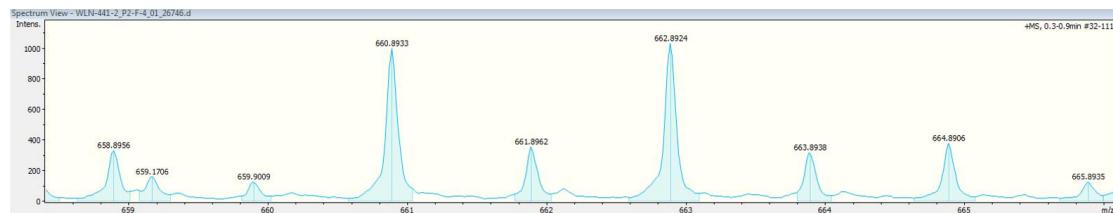


(R)-6-Bromo-3,4-bis(4-bromophenyl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3af)

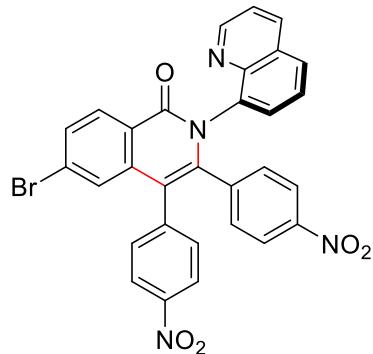


Condition C, White solid, mp: 330-331 °C, 41.1 mg, 31% yield, $[\alpha]_D^{25} = +101.6$ (c = 0.1 in CHCl_3), 93% ee, determined by HPLC analysis (Chiraldak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 15.62 min, t_R (major) = 19.14 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.97-8.85 (m, 1H), 8.40 (d, $J = 8.5$ Hz, 1H), 8.08 (d, $J = 8.3$ Hz, 1H), 7.72 (d, $J = 8.0$ Hz, 1H), 7.63 (dd, $J = 8.5, 1.6$ Hz, 1H), 7.48 (d, $J = 6.8$ Hz, 1H), 7.44-7.34 (m, 5H), 7.09-6.97 (m, 3H), 6.82 (dd, $J = 8.2, 1.8$ Hz, 1H), 6.66 (dd, $J = 8.3, 1.7$ Hz, 1H), 6.60 (dd, $J = 8.3, 1.8$ Hz, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.2, 151.0, 144.4, 142.4, 139.2, 137.0, 136.3, 134.6, 133.4, 133.3, 133.2, 132.1, 131.9, 131.7,

131.2, 130.8, 130.5, 130.3, 130.1, 129.3, 128.9, 128.3, 127.9, 126.0, 124.4, 122.2, 121.9, 121.7, 116.6. **HRMS** (ESI) for $C_{32}H_{18}Br_3N_2O$ $[M+H]^+$ calcd: 658.8964 (^{79}Br , ^{79}Br , ^{79}Br), 660.8945 (^{79}Br , ^{79}Br , ^{81}Br), 662.8927 (^{79}Br , ^{81}Br , ^{81}Br) and 664.8915 (^{81}Br , ^{81}Br , ^{81}Br); found: 658.8956 (^{79}Br , ^{79}Br , ^{79}Br), 660.8933 (^{79}Br , ^{79}Br , ^{81}Br), 662.8924 (^{79}Br , ^{81}Br , ^{81}Br) and 664.8906 (^{81}Br , ^{81}Br , ^{81}Br).

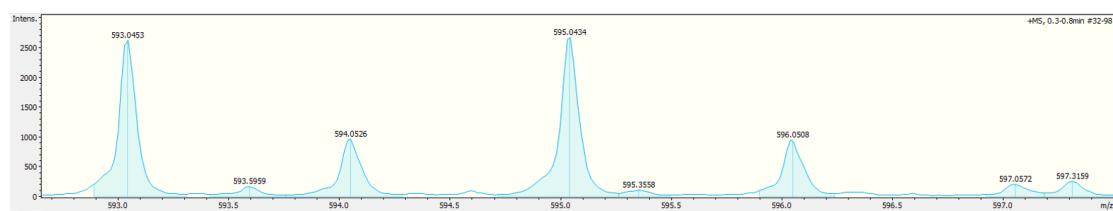


(R)-6-Bromo-3,4-bis(4-nitrophenyl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ag)

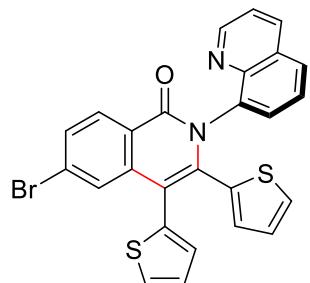


Condition C, White solid, mp: 382-383 °C, 91.2 mg, 77% yield, $[\alpha]_D^{25} = +84.8$ ($c = 0.1$ in $CHCl_3$), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 25.06 min, t_R (major) = 33.45 min.

1H NMR (400 MHz, $CDCl_3$) δ 8.91 (d, $J = 3.2$ Hz, 1H), 8.44 (d, $J = 8.5$ Hz, 1H), 8.24-8.03 (m, 3H), 7.75-7.69 (m, 3H), 7.57 (d, $J = 6.6$ Hz, 1H), 7.45-7.36 (m, 5H), 7.29 (d, $J = 1.4$ Hz, 1H), 7.19 (d, $J = 8.0$ Hz, 1H), 6.98 (d, $J = 8.5$ Hz, 1H). **13C NMR** (101 MHz, $CDCl_3$) δ 161.9, 151.1, 147.3, 146.9, 144.1, 142.2, 141.5, 140.3, 138.2, 136.4, 136.2, 132.7, 132.5, 131.4, 131.2, 130.8, 130.7, 129.7, 129.0, 128.7, 127.6, 125.9, 124.6, 123.9, 123.8, 122.3, 122.1, 115.7. **HRMS** (ESI) for $C_{30}H_{18}BrN_4O_5$ $[M+H]^+$ calcd: 593.0455 (^{79}Br) and 595.0440 (^{81}Br); found: 593.0453 (^{79}Br) and 595.0434 (^{81}Br).

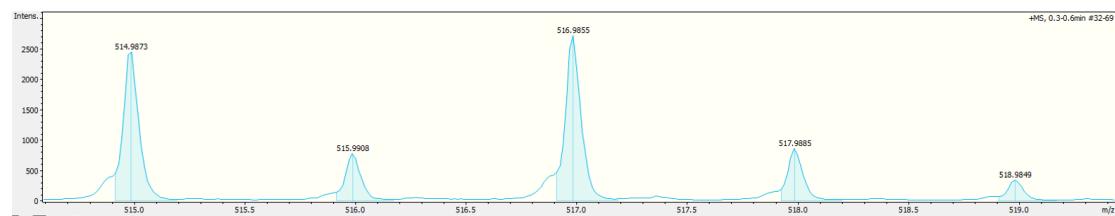


(R)-6-Bromo-2-(quinolin-8-yl)-3,4-di(thiophen-2-yl)isoquinolin-1(2H)-one (3ah)

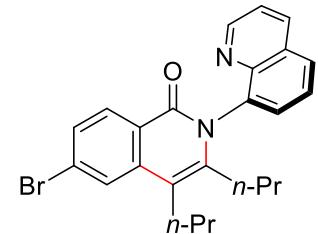


Condition C, White solid, mp: 320-321 °C, 87.4 mg, 85% yield, $[\alpha]_D^{25} = +29.2$ ($c = 0.1$ in $CHCl_3$), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 19.12 min,

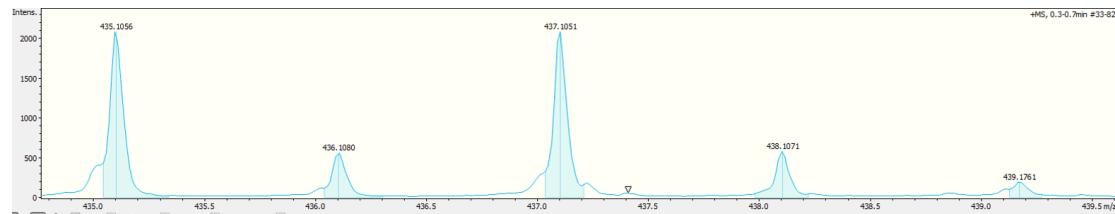
t_R (minor) = 30.22 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.91 (d, J = 3.1 Hz, 1H), 8.38 (d, J = 8.4 Hz, 1H), 8.10 (d, J = 8.1 Hz, 1H), 7.73 (d, J = 8.1 Hz, 1H), 7.64 (d, J = 11.3 Hz, 2H), 7.54 (d, J = 7.1 Hz, 1H), 7.44-7.27 (m, 3H), 6.96 (d, J = 4.4 Hz, 2H), 6.84 (d, J = 4.8 Hz, 1H), 6.45 (d, J = 2.9 Hz, 1H), 6.39-6.29 (m, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.3, 151.1, 144.8, 139.7, 138.7, 137.2, 136.4, 136.2, 134.6, 130.8, 130.7, 130.5, 130.3, 130.2, 129.1, 128.9, 128.4, 127.5, 127.0, 126.8, 125.9, 125.4, 124.6, 121.8, 112.9. **HRMS** (ESI) for $\text{C}_{26}\text{H}_{16}\text{BrN}_2\text{OS}_2$ [$\text{M}+\text{H}$]⁺ calcd: 514.9882 (⁷⁹Br) and 516.9863 (⁸¹Br); found: 514.9873 (⁷⁹Br) and 516.9855 (⁸¹Br).



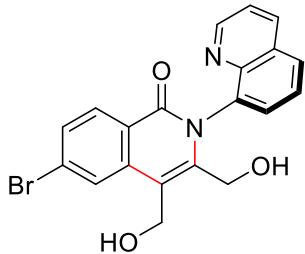
(R)-6-Bromo-3,4-dipropyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ai)



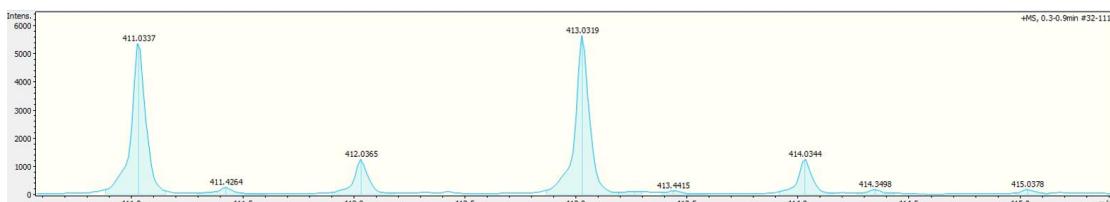
Condition C, White solid, mp: 187-188 °C, 80.2 mg, 93% yield, $[\alpha]_D^{25} = -188.4$ ($c = 0.1$ in CHCl_3), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 7.98 min, t_R (major) = 10.85 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.82 (d, J = 2.7 Hz, 1H), 8.27 (d, J = 8.5 Hz, 1H), 8.22 (d, J = 8.2 Hz, 1H), 7.9-7.86 (m, 2H), 7.71-7.63 (m, 2H), 7.52 (d, J = 8.4 Hz, 1H), 7.43-7.40 (m, 1H), 2.78-2.66 (m, 2H), 2.52-2.40 (m, 1H), 1.98-1.86 (m, 1H), 1.74-1.66 (m, 2H), 1.39-1.25 (m, 2H), 1.11 (t, J = 7.3 Hz, 3H), 0.53 (t, J = 7.3 Hz, 3H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.9, 151.5, 144.6, 142.7, 139.3, 137.1, 136.4, 130.6, 130.2, 129.4, 129.0, 128.0, 126.2, 125.8, 124.1, 122.0, 113.0, 33.0, 29.9, 23.6, 23.0, 14.6, 14.3. **HRMS** (ESI) for $\text{C}_{24}\text{H}_{24}\text{BrN}_2\text{O}$ [$\text{M}+\text{H}$]⁺ calcd: 435.1067 (⁷⁹Br) and 437.1047 (⁸¹Br); found: 435.1056 (⁷⁹Br) and 437.1051 (⁸¹Br).



(R)-6-Bromo-3,4-bis(hydroxymethyl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3aj)

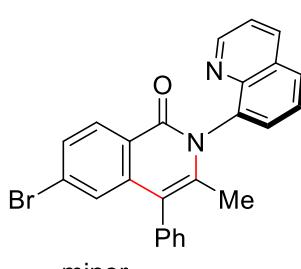
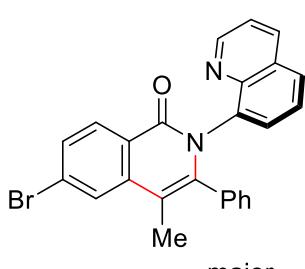


Condition C, White solid, mp: 221-222 °C, 76.6 mg, 93% yield, $[\alpha]_D^{25} = +6.4$ (c = 0.1 in CHCl₃), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/i-PrOH, 70:30 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 8.17 min, t_R (major) = 11.60 min. **¹H NMR** (400 MHz, DMSO) δ 8.80 (s, 1H), 8.51 (d, $J = 7.9$ Hz, 1H), 8.35-8.05 (m, 3H), 7.96-7.65 (m, 3H), 7.59 (d, $J = 3.5$ Hz, 1H), 5.21 (s, 1H), 5.01 (s, 1H), 4.79 (s, 2H), 4.49 (d, $J = 12.2$ Hz, 1H), 3.54 (d, $J = 12.2$ Hz, 1H). **¹³C NMR** (101 MHz, DMSO) δ 161.9, 151.6, 144.4, 143.3, 139.2, 137.0, 136.1, 131.5, 130.2, 129.7, 129.0, 127.6, 127.5, 126.8, 124.8, 122.5, 114.1, 57.2, 56.5. **HRMS** (ESI) for C₂₀H₁₆BrN₂O₃ [M+H]⁺ calcd: 411.0339 (⁷⁹Br) and 413.0321 (⁸¹Br); found: 411.0337 (⁷⁹Br) and 413.0319 (⁸¹Br).



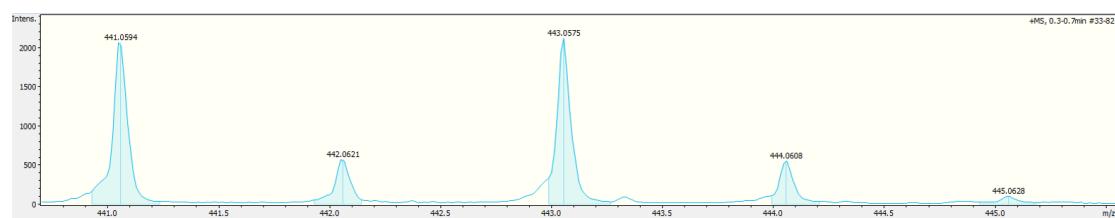
(R)-6-Bromo-4-methyl-3-phenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ak-major)

(R)-6-Bromo-3-methyl-4-phenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ak-minor)

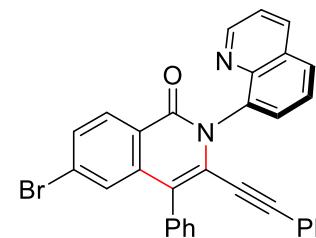


Condition C, White solid, mp: 226-227 °C, 83.9 mg, 95% yield, $[\alpha]_D^{25} = -46.5$ (c = 0.1 in CHCl₃), 96% ee, 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/i-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 13.07 min for major isomer, t_R (major) = 19.32 min for major isomer, t_R (major) = 23.64 min for minor isomer, t_R (minor) = 43.31 min for minor isomer. **¹H NMR** (400 MHz, CDCl₃) δ 8.88 (s, 1.22H, major + minor), 8.40 (d, $J = 8.8$ Hz, 1H, major), 8.32 (d, $J = 8.4$ Hz, 0.22H, minor), 8.23 (d, $J = 8.4$ Hz, 0.22H, minor), 8.02 (d, $J = 8.0$ Hz, 1H, major), 7.96 (s, 0.22H, minor), 7.94 (s, 1H, major), 7.74 (d, $J = 7.2$ Hz, 0.22H, minor), 7.68 (d, $J = 7.6$ Hz, 0.22H, minor), 7.63 (d, $J = 8.4$ Hz, 2.22H, major + minor), 7.52-7.48 (m, 0.66H, minor), 7.43 (d, $J =$

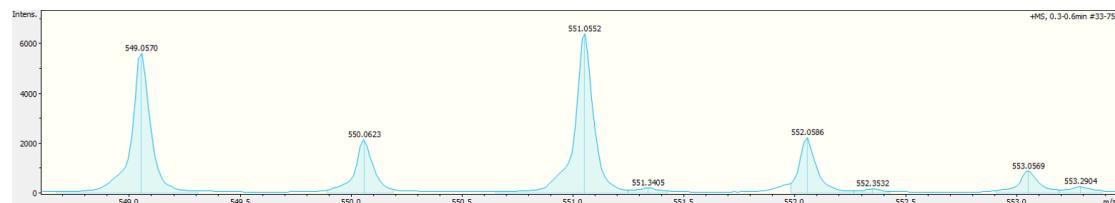
6.8 Hz, 1.22H, major + minor), 7.35-7.32 (m, 2.44H, major + minor), 7.18-7.11 (m, 2.22H, major + minor), 6.99-6.96 (m, 1H, major), 6.82 (d, J = 7.6 Hz, 1H, major), 6.74-6.71 (m, 1H, major). **^{13}C NMR** (101 MHz, CDCl_3) δ 162.8, 162.2, 151.5, 150.9, 144.6, 142.4, 139.9, 139.8, 139.4, 137.6, 137.3, 136.8, 136.4, 136.1, 135.2, 131.4, 131.1, 130.9, 130.6, 130.2, 130.2, 129.9, 129.8, 129.5, 129.4, 129.2, 129.1, 129.0, 128.8, 128.7, 128.0, 127.9, 127.5, 127.3, 126.5, 126.4, 125.8, 124.7, 123.6, 122.0, 121.6, 116.4, 109.3, 19.2, 14.9. **HRMS** (ESI) for $\text{C}_{25}\text{H}_{18}\text{BrN}_2\text{O}$ [$\text{M}+\text{H}$]⁺ calcd: 441.0597 (⁷⁹Br) and 443.0580 (⁸¹Br); found: 441.0594 (⁷⁹Br) and 443.0574 (⁸¹Br).



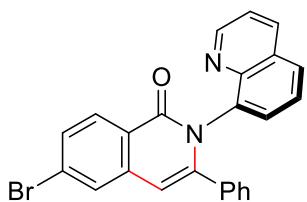
(R)-6-Bromo-4-phenyl-3-(phenylethynyl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3al)



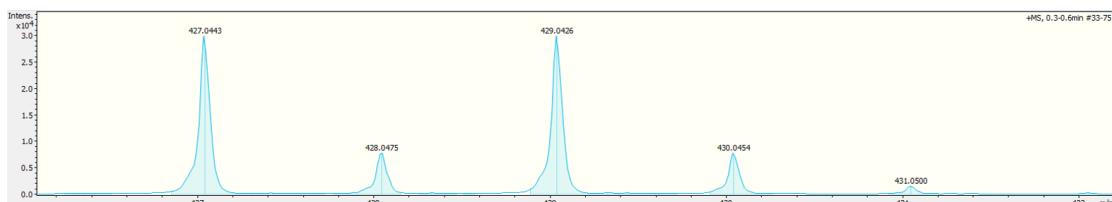
Condition C, White solid, mp: 273-274 °C, 99.0 mg, 94% yield, $[\alpha]_D^{25} = -77.2$ ($c = 0.1$ in CHCl_3), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 14.33 min, t_R (major) = 16.30 min. **^1H NMR** (400 MHz, CDCl_3) δ 8.87 (d, J = 2.9 Hz, 1H), 8.40 (d, J = 8.5 Hz, 1H), 8.22 (d, J = 8.2 Hz, 1H), 8.00 (d, J = 8.2 Hz, 1H), 7.88 (d, J = 7.2 Hz, 1H), 7.70 (t, J = 7.7 Hz, 1H), 7.63-7.46 (m, 7H), 7.39-7.36 (m, 1H), 7.10-6.97 (m, 3H), 6.31 (d, J = 7.6 Hz, 2H). **^{13}C NMR** (101 MHz, CDCl_3) δ 161.8, 151.3, 144.7, 138.8, 137.7, 136.2, 135.5, 131.5, 131.2, 131.0, 130.6, 130.5, 130.2, 129.4, 129.2, 128.9, 128.128.5, 128.2, 128.1, 128.0, 127.0, 126.7, 125.1, 123.4, 121.9, 121.4, 100.0, 83.8. **HRMS** (ESI) for $\text{C}_{32}\text{H}_{19}\text{BrN}_2\text{NaO}$ [$\text{M}+\text{Na}$]⁺ calcd: 549.0573 (⁷⁹Br) and 551.0558 (⁸¹Br); found: 549.0570 (⁷⁹Br) and 551.0552 (⁸¹Br).



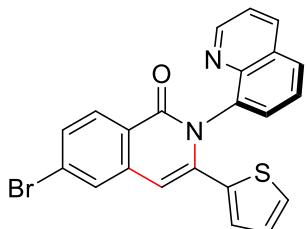
(R)-6-Bromo-3-phenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3am)



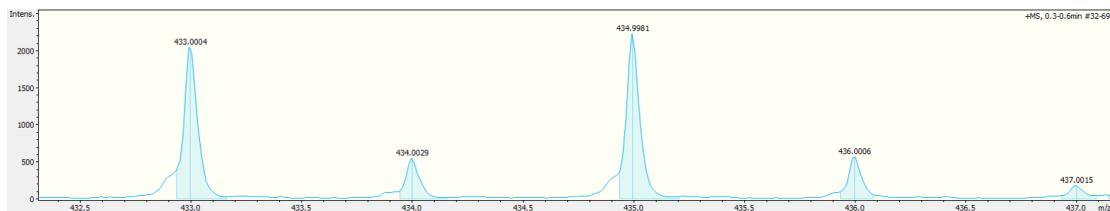
Condition C, White solid, mp: 192-193 °C, 82.2 mg, 96% yield, $[\alpha]_D^{25} = -95.0$ (c = 0.1 in CHCl_3), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 46.26 min, t_R (minor) = 51.41 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.87 (d, $J = 2.8$ Hz, 1H), 8.29 (d, $J = 8.6$ Hz, 1H), 8.06 (d, $J = 8.3$ Hz, 1H), 7.74 (s, 1H), 7.70 (d, $J = 8.0$ Hz, 1H), 7.57 (d, $J = 8.5$ Hz, 1H), 7.47 (d, $J = 7.0$ Hz, 1H), 7.41-7.32 (m, 2H), 7.08 (d, $J = 7.5$ Hz, 2H), 7.04-6.92 (m, 3H), 6.54 (s, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.9, 151.0, 146.1, 144.6, 138.8, 137.0, 136.2, 136.0, 130.7, 130.4, 130.0, 129.0, 128.9, 128.8, 128.6, 128.3, 127.9, 127.5, 125.9, 124.1, 121.7, 106.4. **HRMS** (ESI) for $\text{C}_{24}\text{H}_{16}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 427.0441 (^{79}Br) and 429.0423 (^{81}Br); found: 427.0443 (^{79}Br) and 429.0426 (^{81}Br).



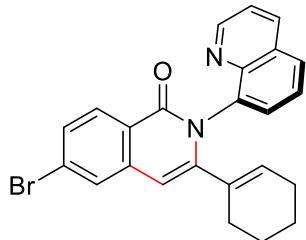
(R)-6-Bromo-2-(quinolin-8-yl)-3-(thiophen-2-yl)isoquinolin-1(2H)-one (3an)



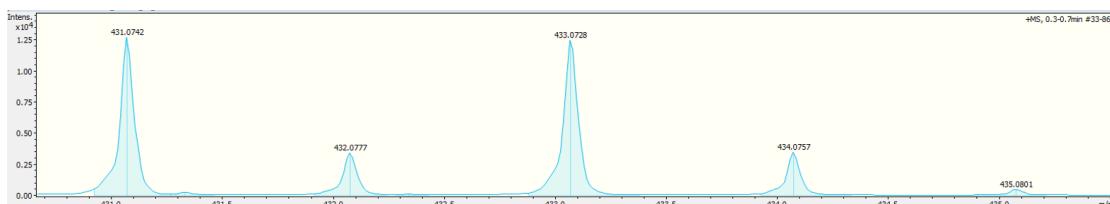
Condition C, White solid, mp: 214-215 °C, 36.5 mg, 42% yield, $[\alpha]_D^{25} = -90.8$ (c = 0.1 in CHCl_3), 95% ee, determined by HPLC analysis (Chiralpak AS-H column, hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 8.29 min, t_R (major) = 12.72 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.86-8.85 (m, 1H), 8.28 (d, $J = 8.6$ Hz, 1H), 8.14 (dd, $J = 8.3, 1.2$ Hz, 1H), 7.83 (d, $J = 8.1$ Hz, 1H), 7.75 (d, $J = 1.4$ Hz, 1H), 7.60-7.57 (m, 2H), 7.51 (t, $J = 7.7$ Hz, 1H), 7.39-7.36 (m, 1H), 7.01-7.00 (m, 1H), 6.75 (s, 1H), 6.64-6.52 (m, 2H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.9, 151.3, 145.1, 139.1, 138.5, 136.9, 136.6, 136.3, 130.6, 130.4, 130.3, 129.4, 129.1, 128.8, 128.7, 127.9, 127.2, 126.3, 126.1, 124.3, 121.9, 107.7. **HRMS** (ESI) for $\text{C}_{22}\text{H}_{14}\text{BrN}_2\text{OS}$ $[\text{M}+\text{H}]^+$ calcd: 433.0005 (^{79}Br) and 434.9986 (^{81}Br); found: 433.0004 (^{79}Br) and 434.9981 (^{81}Br).



(R)-6-Bromo-3-(cyclohex-1-en-1-yl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ao)

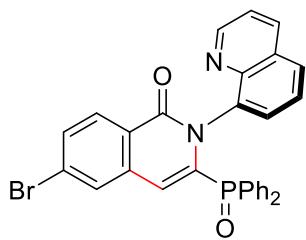


Condition C, White solid, mp: 229-230 °C, 80.9 mg, 94% yield, $[\alpha]_D^{25} = -129.6$ (c = 0.1 in CHCl₃), 94% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/i-PrOH, 70:30 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 15.85 min, t_R (minor) = 39.70 min. ¹H NMR (400 MHz, CDCl₃) δ 8.92-8.81 (m, 1H), 8.25 (d, $J = 8.5$ Hz, 1H), 8.18 (dd, $J = 8.3, 1.2$ Hz, 1H), 7.89 (dd, $J = 7.0, 2.4$ Hz, 1H), 7.69 (d, $J = 1.3$ Hz, 1H), 7.60 (d, $J = 7.3$ Hz, 2H), 7.52 (dd, $J = 8.5, 1.5$ Hz, 1H), 7.40-7.37 (m, 1H), 6.39 (s, 1H), 5.69 (s, 1H), 1.80-1.76 (m, 2H), 1.66-1.58 (m, 1H), 1.49-1.39 (m, 1H), 1.20-1.16 (m, 2H), 1.11-1.00 (m, 2H). ¹³C NMR (101 MHz, CDCl₃) δ 162.9, 151.1, 148.7, 144.9, 139.3, 137.2, 136.2, 134.1, 131.1, 130.4, 130.3, 129.5, 129.0, 128.3, 127.6, 125.8, 124.0, 121.7, 104.1, 28.9, 25.1, 22.2, 21.4. HRMS (ESI) for C₂₄H₂₀BrN₂O [M+H]⁺ calcd: 431.0754 (⁷⁹Br) and 433.0736 (⁸¹Br); found: 431.0742 (⁷⁹Br) and 433.0728 (⁸¹Br).



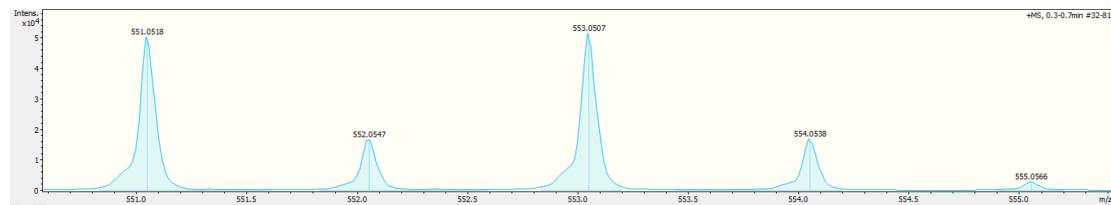
(R)-6-Bromo-3-(diphenylphosphoryl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one

(3ap-major)

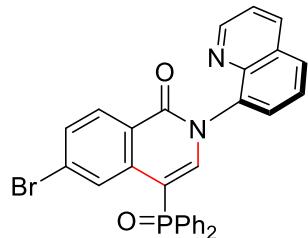


Condition C, White solid, mp: 253-254 °C, 90.2 mg, 82% yield, $[\alpha]_D^{25} = -169.0$ (c = 0.1 in CHCl₃), 96% ee, determined by HPLC analysis (Chiralcel OD-H column, hexane/i-PrOH, 70:30 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 17.69 min, t_R (major) = 25.58 min. ¹H NMR (400 MHz, CDCl₃) δ 8.63 (d, $J = 3.2$ Hz, 1H), 8.30 (d, $J = 8.5$ Hz, 1H), 8.01 (d, $J = 7.1$ Hz, 1H), 7.86-7.74 (m, 3H), 7.68 (d, $J = 8.6$ Hz, 1H), 7.65-7.42 (m, 6H), 7.26-7.18 (m, 3H), 6.99-6.83 (m, 3H), 6.59 (d, $J = 12.5$ Hz, 1H). ¹³C NMR (101 MHz, CDCl₃) δ 162.8 (d, $J = 4.6$ Hz), 150.4, 144.4, 139.9 (d, $J = 106.4$ Hz), 136.6 (d, $J =$

14.3 Hz), 135.8, 135.1 (d, J = 2.6 Hz), 132.9, 132.5 (d, J = 2.7 Hz), 132.4, 132.2 (d, J = 9.3 Hz), 131.1, 131.0 (d, J = 2.8 Hz), 130.4 (d, J = 6.7 Hz), 130.2, 130.1, 130.0, 129.9, 128.8, 128.7 128.6, 128.2, 127.9, 127.5, 127.3, 127.2, 126.0, 125.6, 121.3, 116.5 (d, J = 14.6 Hz). ^{31}P NMR (162 MHz, CDCl_3) δ 23.3. **HRMS** (ESI) for $\text{C}_{30}\text{H}_{21}\text{BrN}_2\text{O}_2\text{P}$ [M+H] $^+$ calcd: 551.0519 (^{79}Br) and 553.0503 (^{81}Br); found: 551.0518 (^{79}Br) and 553.0507 (^{81}Br).

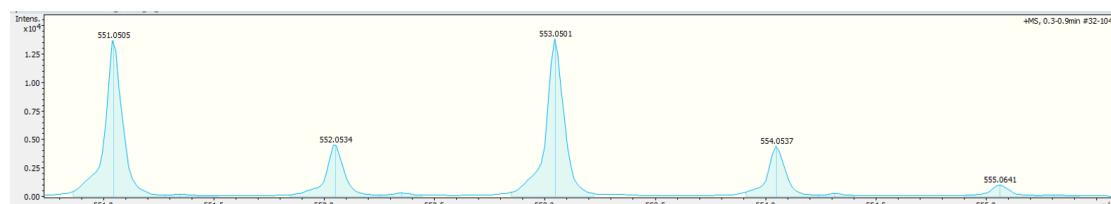


6-Bromo-4-(diphenylphosphoryl)-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ap-minor)



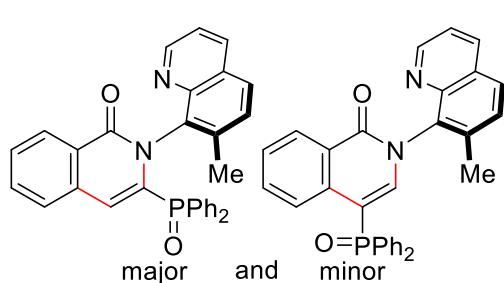
Condition C, Yellow solid, mp: 261-262 °C, 14.3 mg, 13% yield.

^1H NMR (400 MHz, CDCl_3) δ 8.88 (d, J = 3.0 Hz, 1H), 8.35 (d, J = 8.6 Hz, 1H), 8.31 (s, 1H), 8.22 (d, J = 8.2 Hz, 1H), 7.98-7.71 (m, 6H), 7.66-7.58 (m, 2H), 7.46 (dd, J = 8.3, 4.2 Hz, 7H), 7.28 (d, J = 9.6 Hz, 1H). ^{13}C NMR (101 MHz, CDCl_3) δ 162.0, 151.0, 144.27 (d, J = 19.6 Hz), 143.2, 137.50 (d, J = 7.0 Hz), 136.9, 136.4, 132.4 (d, J = 2.6 Hz), 132.2, 132.1, 131.0, 130.5, 129.5, 129.5, 129.2 (d, J = 3.8 Hz), 128.9, 128.8, 128.6, 126.1, 125.3 (d, J = 9.2 Hz), 122.0, 105.5 (d, J = 111.4 Hz). ^{31}P NMR (162 MHz, CDCl_3) δ 27.9. **HRMS** (ESI) for $\text{C}_{30}\text{H}_{21}\text{BrN}_2\text{O}_2\text{P}$ [M+H] $^+$ calcd: 551.0519 (^{79}Br) and 553.0503 (^{81}Br); found: 551.0505 (^{79}Br) and 553.0501 (^{81}Br).



(R)-3-(Diphenylphosphoryl)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (3aq-major)

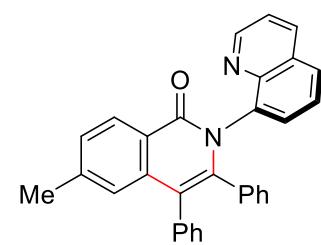
(R)-4-(Diphenylphosphoryl)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (3aq-minor)



Condition C, White solid, mp: 245-246 °C, 71.8 mg, 74% yield, $[\alpha]_D^{25} = -56.8$ (c = 0.1 in CHCl_3), 91% ee, determined by HPLC analysis (Chiralcel OD-H column, hexane/*i*-PrOH, 90:10 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R

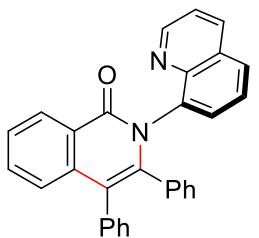
(major) = 46.85 min for major isomer, t_R (minor) = 68.46 min for major isomer. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.84-8.82 (m, 0.13H, minor), 8.51 (d, J = 8.0 Hz, 0.13H, minor), 8.46 (d, J = 7.6 Hz, 1H, major), 8.44-8.42 (m, 1H, major), 8.14 (d, J = 8.4 Hz, 0.26H, minor), 7.92-7.87 (m, 0.26H, minor), 7.82-7.78 (m, 3H, major), 7.75-7.74 (m, 0.13H, minor), 7.71-7.67 (m, 1.13H, major + minor), 7.62-7.58 (m, 2.26H, major + minor), 7.56-7.54 (m, 1H, major), 7.49-7.44 (m, 4.39H, major + minor), 7.37-7.34 (m, 0.26H, minor), 7.24-7.21 (m, 2H, major), 7.09-7.04 (m, 2.26H, major + minor), 6.95-6.90 (m, 2.26H, major + minor), 6.74-6.71 (m, 1.13H, major + minor), 2.49 (s, 3H, major), 2.37 (s, 0.39H, minor). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.6 (d, J = 5.0 Hz), 161.9, 151.0, 150.3, 145.2, 141.4, 138.8, 137.7, 137.6, 136.1 (d, J = 13.8 Hz), 135.7, 135.4 (d, J = 14.4 Hz), 135.4, 133.5 (d, J = 68.5 Hz), 133.1, 132.8, 132.5, 132.4, 132.3 (d, J = 5.7 Hz), 132.3, 132.1 (d, J = 10.0 Hz), 131.2, 131.1 (d, J = 2.8 Hz), 131.0, 130.9, 129.5, 129.4, 129.3, 129.2, 129.0, 128.8, 128.7 (d, J = 2.9 Hz), 128.6, 128.4, 127.6 (d, J = 3.6 Hz), 127.4, 126.8, 121.2 (d, J = 3.1 Hz), 120.3, 118.1 (d, J = 14.6 Hz), 19.5, 18.7. **$^{31}\text{P NMR}$** (162 MHz, CDCl_3) δ 28.1 (minor), 21.9 (major). **HRMS (ESI)** for $\text{C}_{31}\text{H}_{24}\text{BrN}_2\text{O}_2\text{P}$ $[\text{M}+\text{H}]^+$ calcd: 487.1570; found: 483.1557.

(R)-3,4-Diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3aa)



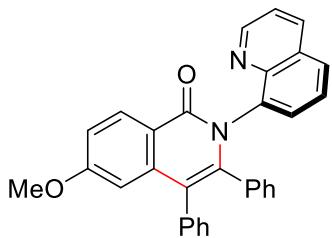
Condition C, White solid, mp: 285-287 °C, 82.3 mg, 94% yield, $[\alpha]_D^{25} = +53.6$ ($c = 0.1$ in CHCl_3), 95% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (major) = 12.01 min, t_R (minor) = 25.57 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.96-8.90 (m, 1H), 8.47 (d, J = 8.2 Hz, 1H), 8.03 (d, J = 8.3 Hz, 1H), 7.64 (d, J = 8.1 Hz, 1H), 7.48 (d, J = 7.1 Hz, 1H), 7.39-7.32 (m, 3H), 7.24-7.11 (m, 5H), 7.07 (s, 1H), 6.95 (d, J = 7.6 Hz, 1H), 6.83-6.68 (m, 3H), 6.49-6.45 (m, 1H), 2.38 (s, 3H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.7, 150.7, 144.7, 143.0, 141.9, 138.2, 137.7, 136.7, 136.1, 135.0, 131.9, 131.7, 131.0, 130.8, 129.8, 128.7, 128.5, 128.4, 128.3, 128.0, 127.7, 127.1, 126.7, 126.6, 126.4, 125.8, 125.3, 123.4, 121.5, 118.4, 22.1. **HRMS (ESI)** for $\text{C}_{31}\text{H}_{23}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 439.1805; found: 439.1801.

(R)-3,4-Diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ba)



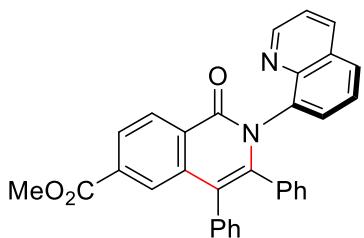
Condition C, White solid, mp: 251-253 °C, 83.3 mg, 98% yield, $[\alpha]_D^{25} = +51.6$ (c = 0.1 in CHCl_3), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 10.39 min, t_R (minor) = 24.26 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.92 (d, $J = 2.8$ Hz, 1H), 8.58 (d, $J = 7.9$ Hz, 1H), 8.03 (d, $J = 8.1$ Hz, 1H), 7.65-7.48 (m, 4H), 7.41-7.28 (m, 3H), 7.24-7.11 (m, 5H), 6.97 (d, $J = 7.6$ Hz, 1H), 6.84-6.69 (m, 3H), 6.50-6.46 (m, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.8, 150.8, 144.7, 141.9, 138.2, 137.7, 136.6, 136.1, 135.0, 132.6, 131.9, 131.7, 131.0, 130.9, 129.8, 128.8, 128.7, 128.5, 128.1, 127.9, 127.3, 126.8, 126.7, 126.5, 125.9, 125.7, 121.6, 118.6. **HRMS** (ESI) for $\text{C}_{30}\text{H}_{21}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 425.1648; found: 425.1646.

(R)-6-Methoxy-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ca)



Condition C, White solid, mp: 299-301 °C, 74.3 mg, 82% yield, $[\alpha]_D^{25} = +62.4$ (c = 0.1 in CHCl_3), 97% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 12.28 min, t_R (minor) = 30.55 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.93 (d, $J = 2.5$ Hz, 1H), 8.51 (d, $J = 8.8$ Hz, 1H), 8.03 (d, $J = 7.8$ Hz, 1H), 7.63 (d, $J = 7.8$ Hz, 1H), 7.49 (d, $J = 7.0$ Hz, 1H), 7.37-7.08 (m, 8H), 6.96 (d, $J = 7.5$ Hz, 1H), 6.83-6.45 (m, 5H), 3.72 (s, 3H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 163.0, 162.4, 150.7, 144.7, 142.5, 140.3, 137.7, 136.6, 136.1, 135.0, 131.8, 131.6, 131.0, 130.7, 130.6, 129.7, 128.7, 128.5, 128.1, 127.8, 127.2, 126.8, 126.6, 126.4, 125.8, 121.5, 119.5, 118.3, 115.3, 107.6, 55.3. **HRMS** (ESI) for $\text{C}_{31}\text{H}_{23}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ calcd: 455.1754; found: 455.1745.

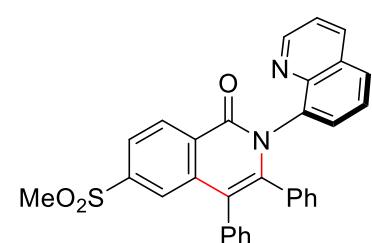
(R)-Methyl 1-oxo-3,4-diphenyl-2-(quinolin-8-yl)-1,2-dihydroisoquinoline-6-carboxylate (3da)



Condition C, White solid, mp: 329-330 °C, 88.8 mg, 92% yield, $[\alpha]_D^{25} = +23.75$ (c = 0.1 in CHCl_3), 94% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm,

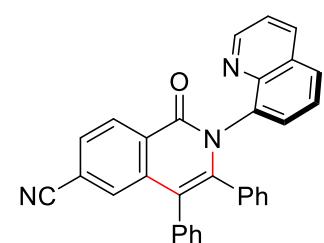
25 °C), t_R (major) = 10.06 min, t_R (minor) = 24.57 min. **1H NMR** (400 MHz, CDCl_3) δ 8.93 (d, J = 3.0 Hz, 1H), 8.64 (d, J = 8.3 Hz, 1H), 8.12 (d, J = 8.3 Hz, 1H), 8.07 (d, J = 8.1 Hz, 1H), 8.03 (s, 1H), 7.67 (d, J = 8.1 Hz, 1H), 7.49 (d, J = 6.9 Hz, 1H), 7.41-7.35 (m, 2H), 7.21 (d, J = 29.2 Hz, 5H), 6.96 (d, J = 7.6 Hz, 1H), 6.83 (t, J = 7.6 Hz, 1H), 6.74 (d, J = 7.3 Hz, 2H), 6.49 (t, J = 7.5 Hz, 1H), 3.89 (s, 3H). **13C NMR** (101 MHz, CDCl_3) δ 166.8, 162.3, 150.9, 144.6, 142.9, 138.1, 137.5, 136.2, 135.9, 134.7, 133.6, 131.9, 131.7, 130.9, 130.8, 129.8, 128.9, 128.5, 128.3, 128.1, 127.7, 127.5, 127.2, 126.8, 126.7, 126.6, 125.9, 121.7, 118.8, 52.5. **HRMS** (ESI) for $\text{C}_{32}\text{H}_{23}\text{N}_2\text{O}_3$ $[\text{M}+\text{H}]^+$ calcd: 483.1703; found: 483.1712.

(R)-6-(Methylsulfonyl)-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ea)



Condition C, White solid, mp: 309-310 °C, 96.4 mg, 96% yield, $[\alpha]_D^{25} = +11.6$ ($c = 0.1$ in CHCl_3), 93% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 75:25 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 14.79 min, t_R (minor) = 23.53 min. **1H NMR** (400 MHz, CDCl_3) δ 8.91 (dd, J = 4.0, 1.3 Hz, 1H), 8.76 (d, J = 8.4 Hz, 1H), 8.06 (dd, J = 8.2, 1.1 Hz, 1H), 7.99 (dd, J = 8.4, 1.5 Hz, 1H), 7.94 (s, 1H), 7.68 (d, J = 7.9 Hz, 1H), 7.49 (d, J = 6.9 Hz, 1H), 7.42-7.34 (m, 2H), 7.27-7.15 (m, 5H), 6.96 (d, J = 7.7 Hz, 1H), 6.85 (t, J = 7.5 Hz, 1H), 6.78-6.67 (m, 2H), 6.50 (t, J = 7.6 Hz, 1H), 3.02 (s, 3H). **13C NMR** (101 MHz, CDCl_3) δ 161.8, 151.0, 144.4, 144.3, 144.0, 138.8, 137.1, 136.2, 135.1, 134.3, 131.7, 131.5, 130.7, 130.5, 130.4, 129.5, 129.0, 128.8, 128.5, 128.4, 127.7, 127.5, 126.9, 126.6, 125.8, 125.4, 123.8, 121.8, 118.3, 44.3. **HRMS** (ESI) for $\text{C}_{31}\text{H}_{23}\text{N}_2\text{O}_3\text{S}$ $[\text{M}+\text{H}]^+$ calcd: 503.1424; found: 503.1429.

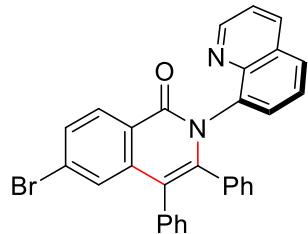
(R)-1-Oxo-3,4-diphenyl-2-(quinolin-8-yl)-1,2-dihydroisoquinoline-6-carbonitrile (3fa)



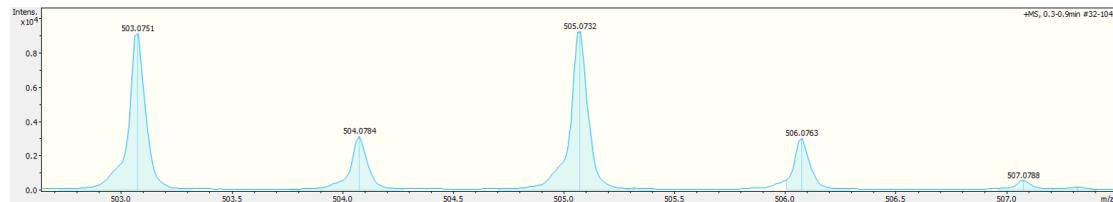
Condition C, White solid, mp: 277-278 °C, 84.4 mg, 94% yield, $[\alpha]_D^{25} = +18.6$ ($c = 0.1$ in CHCl_3), 93% ee, determined by HPLC analysis (Chiralpak AS-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 11.65 min, t_R (major) = 37.43 min. **1H NMR** (400 MHz, CDCl_3) δ 8.64 (d, J = 8.2 Hz, 1H), 8.03 (d, J = 8.0 Hz, 1H), 7.71-7.61 (m, 3H), 7.49 (d, J = 7.2 Hz, 1H), 7.37-7.34 (m, 2H), 7.26-7.10 (m, 5H), 6.97 (d, J = 7.0 Hz, 1H), 6.87-6.70 (m, 3H), 6.49 (t, J = 7.4 Hz, 1H). **13C NMR** (101

MHz, CDCl_3) δ 161.7, 150.9, 144.3, 144.1, 138.4, 137.0, 136.1, 135.1, 134.1, 131.7, 131.4, 130.6, 130.5, 129.6, 129.4, 129.0, 128.8, 128.5, 128.3, 127.9, 127.7, 127.4, 126.9, 126.6, 125.8, 121.7, 118.5, 117.6, 115.9. **HRMS** (ESI) for $\text{C}_{31}\text{H}_{20}\text{N}_3\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 450.1601; found: 450.1609.

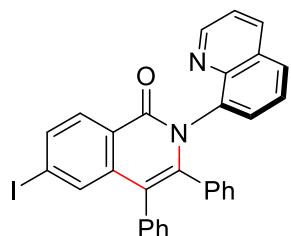
(R)-6-Bromo-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ga)



Condition C, White solid, mp: 307-309 °C, 98.7 mg, 98% yield, $[\alpha]_D^{25} = +26.4$ ($c = 0.1$ in CHCl_3), 95% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 12.57 min, t_R (minor) = 38.87 min. **1H NMR** (400 MHz, CDCl_3) δ 8.92 (d, $J = 2.7$ Hz, 1H), 8.42 (d, $J = 8.5$ Hz, 1H), 8.04 (d, $J = 8.2$ Hz, 1H), 7.72-7.55 (m, 2H), 7.48 (d, $J = 6.7$ Hz, 1H), 7.44 (d, $J = 1.4$ Hz, 1H), 7.39-7.34 (m, 2H), 7.25-7.12 (m, 5H), 6.95 (d, $J = 7.6$ Hz, 1H), 6.83 (t, $J = 7.5$ Hz, 1H), 6.72 (t, $J = 7.5$ Hz, 2H), 6.48 (t, $J = 7.5$ Hz, 1H). **13C NMR** (101 MHz, CDCl_3) δ 162.4, 150.94, 144.64, 143.5, 139.8, 137.5, 136.1, 135.9, 134.7, 131.8, 131.6, 130.8, 130.7, 130.4, 130.0, 129.7, 128.8, 128.3, 128.2, 128.1, 128.0, 127.5, 127.2, 126.8, 126.6, 125.8, 124.4, 121.7, 117.7. **HRMS** (ESI) for $\text{C}_{30}\text{H}_{20}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 503.0754 (^{79}Br) and 505.0738 (^{81}Br); found: 503.0751 (^{79}Br) and 505.0732 (^{81}Br).



(R)-6-Iodo-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ha)

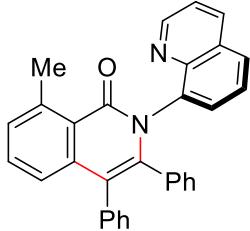


Condition C, White solid, mp: 320-321 °C, 104.6 mg, 95% yield, $[\alpha]_D^{25} = +65.8$ ($c = 0.1$ in CHCl_3), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 14.33 min, t_R (minor) = 43.58 min. **1H NMR** (400 MHz, CDCl_3) δ 8.91 (s, 1H), 8.26 (d, $J = 8.3$ Hz, 1H), 8.03 (d, $J = 8.0$ Hz, 1H), 7.82 (d, $J = 8.2$ Hz, 1H), 7.72-7.57 (m, 2H), 7.47 (d, $J = 7.0$ Hz, 1H), 7.38-7.32 (m, 2H), 7.24-7.15 (m, 5H), 6.94 (d, $J = 7.3$ Hz, 1H), 6.82 (t, $J = 7.3$ Hz, 1H), 6.70 (d, $J = 7.2$ Hz, 2H), 6.47 (t, $J = 7.4$ Hz, 1H). **13C NMR** (101 MHz, CDCl_3) δ 162.5, 150.9,

144.6, 143.3, 139.7, 137.5, 136.1, 135.8, 134.7, 134.5, 131.8, 131.6, 130.8, 130.7, 130.1, 129.7, 128.8, 128.3, 128.1, 127.5, 127.2, 126.8, 126.6, 125.8, 124.8, 121.6, 117.4, 100.9.

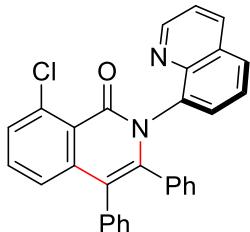
HRMS (ESI) for $C_{30}H_{20}IN_2O$ $[M+H]^+$ calcd: 551.0615; found: 551.0621.

(R)-8-Methyl-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ia)

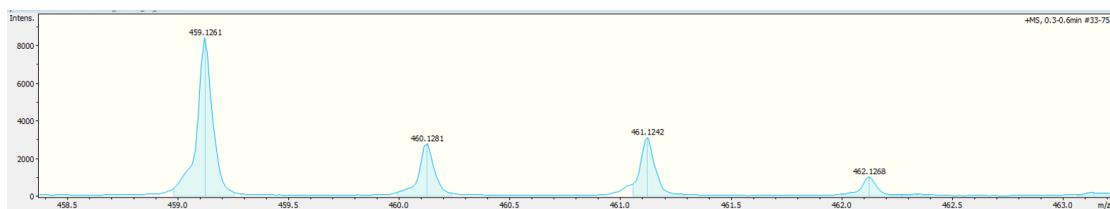


Condition C, White solid, mp: 279-280 °C, 86.8 mg, 99% yield, $[\alpha]_D^{25} = +7.0$ ($c = 0.1$ in $CHCl_3$), 93% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 5.15 min, t_R (minor) = 9.32 min. **1H NMR** (400 MHz, $CDCl_3$) δ 8.94 (d, $J = 2.8$ Hz, 1H), 8.03 (d, $J = 8.3$ Hz, 1H), 7.63 (d, $J = 8.1$ Hz, 1H), 7.52 (d, $J = 7.0$ Hz, 1H), 7.43-7.33 (m, 3H), 7.27 (d, $J = 7.3$ Hz, 1H), 7.22-7.09 (m, 6H), 6.95 (d, $J = 7.7$ Hz, 1H), 6.82-6.66 (m, 3H), 6.46 (t, $J = 7.5$ Hz, 1H), 2.96 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.6, 150.8, 144.9, 142.6, 142.0, 140.1, 138.2, 137.4, 136.1, 135.1, 132.0, 131.9, 131.7, 131.1, 130.8, 130.1, 129.8, 128.9, 128.5, 128.1, 127.9, 127.2, 126.8, 126.6, 126.4, 125.9, 124.2, 121.6, 118.6, 24.5. **HRMS** (ESI) for $C_{31}H_{23}N_2O$ $[M+H]^+$ calcd: 439.1805; found: 439.1806.

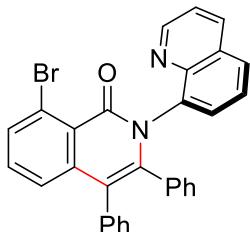
(R)-8-Chloro-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ja)



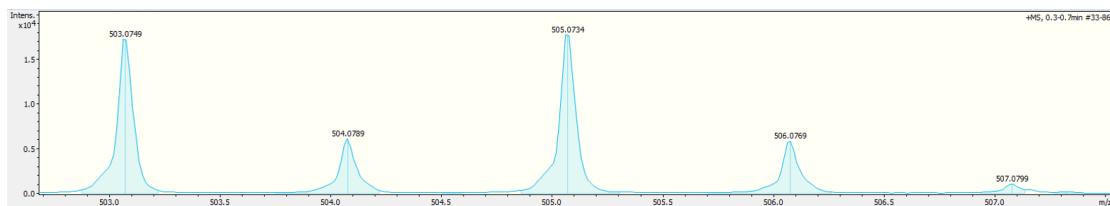
Condition C, White solid, mp: 289-290 °C, 77.1 mg, 84% yield, $[\alpha]_D^{25} = +6.25$ ($c = 0.1$ in $CHCl_3$), 87% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 7.02 min, t_R (minor) = 13.80 min. **1H NMR** (400 MHz, $CDCl_3$) δ 8.97-8.85 (m, 1H), 8.02 (d, $J = 8.3$ Hz, 1H), 7.63 (d, $J = 8.1$ Hz, 1H), 7.51 (d, $J = 7.5$ Hz, 2H), 7.42-7.33 (m, 3H), 7.24-7.12 (m, 6H), 6.95 (d, $J = 7.7$ Hz, 1H), 6.82 (t, $J = 7.5$ Hz, 1H), 6.76-6.66 (m, 2H), 6.47 (t, $J = 7.6$ Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.1, 150.9, 144.7, 143.2, 1415, 137.7, 136.7, 136.3, 136.1, 134.7, 132.2, 131.8, 131.1, 130.6, 130.0, 129.6, 128.9, 128.7, 128.2, 128.1, 127.4, 127.0, 126.7, 126.5, 125.8, 125.1, 122.2, 121.6, 117.9. **HRMS** (ESI) for $C_{30}H_{20}ClN_2O$ $[M+H]^+$ calcd: 459.1259 (^{35}Cl) and 461.1243 (^{37}Cl); found: 459.1261 (^{35}Cl) and 461.1242 (^{37}Cl).



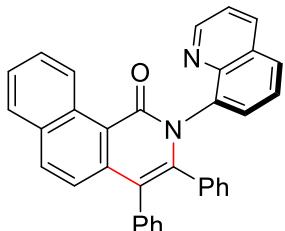
(R)-8-Bromo-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ka)



Condition C, White solid, mp: 291-292 °C, 80.6 mg, 80% yield, $[\alpha]_D^{25} = +4.3$ (c = 0.1 in CHCl_3), 82% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 7.56 min, t_R (minor) = 14.53 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.97-8.95 (m, k, $J = 8.3, 1.2$ Hz, 1H), 7.81 (d, $J = 7.2$ Hz, 1H), 7.67 (d, $J = 8.0$ Hz, 1H), 7.57 (d, $J = 7.1$ Hz, 1H), 7.43-7.28 (m, 4H), 7.25-7.15 (m, 5H), 6.99 (d, $J = 7.7$ Hz, 1H), 6.86 (t, $J = 7.5$ Hz, 1H), 6.81-6.70 (m, 2H), 6.51 (t, $J = 7.5$ Hz, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 161.0, 150.8, 144.7, 143.1, 141.4, 137.7, 136.7, 136.1, 134.6, 133.9, 132.2, 131.9, 131.8, 131.1, 130.6, 129.6, 128.9, 128.7, 128.2, 128.1, 127.4, 127.0, 126.7, 126.5, 125.8, 123.9, 123.0, 121.6, 118.0. **HRMS** (ESI) for $\text{C}_{30}\text{H}_{20}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 503.0754 (^{79}Br) and 505.0738 (^{81}Br); found: 503.0749 (^{79}Br) and 505.0734 (^{81}Br).



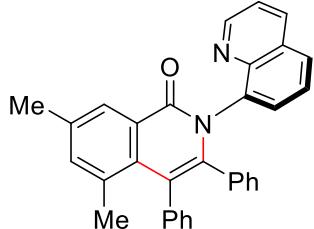
(R)-3,4-Diphenyl-2-(quinolin-8-yl)benzo[h]isoquinolin-1(2H)-one (3la)



Condition C, White solid, mp: 241-242 °C, 80.7 mg, 85% yield, $[\alpha]_D^{25} = +16.25$ (c = 0.1 in CHCl_3), 97% ee, determined by HPLC analysis (Chiralpak IA-H column, hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 10.16 min, t_R (minor) = 29.37 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 10.25 (d, $J = 8.7$ Hz, 1H), 8.91 (dd, $J = 4.2, 1.4$ Hz, 1H), 8.06 (dd, $J = 8.3, 1.3$ Hz, 1H), 7.95 (d, $J = 8.9$ Hz, 1H), 7.88 (d, $J = 7.8$ Hz, 1H), 7.69-7.64 (m, 2H), 7.58 (t, $J = 6.9$ Hz, 2H), 7.44-7.35 (m, 3H), 7.26-7.17 (m, 5H), 7.00 (d, $J = 7.7$ Hz, 1H), 6.84 (t, $J = 7.5$ Hz, 1H), 6.78 (d, $J = 7.7$ Hz, 1H), 6.73 (t, $J = 7.5$ Hz, 1H), 6.51 (d, $J = 7.5$ Hz, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 163.2, 150.9, 144.8, 143.6, 139.7,

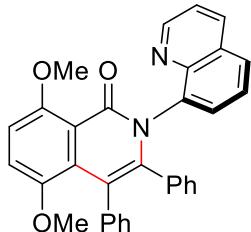
138.4, 137.2, 136.1, 135.0, 133.9, 132.4, 132.3, 132.2, 132.0, 130.9, 130.7, 129.6, 128.9, 128.7, 128.4, 128.2, 128.1, 128.0, 127.4, 126.9, 126.7, 126.5, 126.4, 125.9, 123.9, 121.6, 119.1. **HRMS** (ESI) for $C_{34}H_{23}N_2O$ $[M+H]^+$ calcd: 475.1805; found: 475.1809.

(R)-5,7-Dimethyl-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ma)



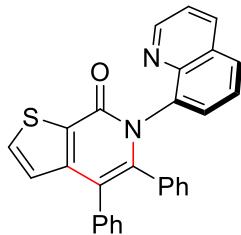
Condition C, White solid, mp: 280-281 °C, 69.7 mg, 77% yield, $[\alpha]_D^{25} = +39.25$ ($c = 0.1$ in $CHCl_3$), 90% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 8.55 min, t_R (minor) = 17.52 min. **1H NMR** (400 MHz, $CDCl_3$) δ 8.90 (d, $J = 2.9$ Hz, 1H), 8.37 (s, 1H), 8.01 (dd, $J = 8.2, 1.0$ Hz, 1H), 7.61 (d, $J = 8.2$ Hz, 1H), 7.46 (d, $J = 6.9$ Hz, 1H), 7.35-7.31 (m, 2H), 7.25-7.03 (m, 6H), 6.90 (d, $J = 7.6$ Hz, 1H), 6.77 (t, $J = 7.4$ Hz, 1H), 6.66-6.63 (m, 2H), 6.41 (t, $J = 7.5$ Hz, 1H), 2.45 (s, 3H), 1.79 (s, 3H). **13C NMR** (101 MHz, $CDCl_3$) δ 163.0, 150.8, 144.7, 141.5, 140.2, 138.4, 138.0, 136.5, 136.0, 135.4, 135.2, 133.7, 132.3, 132.2, 131.2, 131.0, 130.1, 128.8, 128.5, 127.5, 127.3, 127.1, 127.0, 126.9, 126.5, 126.4, 126.2, 125.8, 121.5, 118.3, 23.9, 21.2. **HRMS** (ESI) for $C_{32}H_{25}N_2O$ $[M+H]^+$ calcd: 453.1961; found: 453.1964.

(R)-5,8-Dimethoxy-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3na)



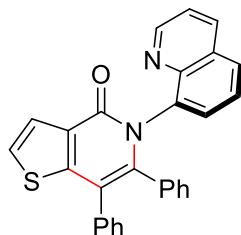
Condition C, White solid, mp: 195-196 °C, 53.5 mg, 55% yield, $[\alpha]_D^{25} = +7.4$ ($c = 0.1$ in $CHCl_3$), 73% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 5.50 min, t_R (major) = 6.76 min. **1H NMR** (400 MHz, $CDCl_3$) δ 8.89 (d, $J = 3.0$ Hz, 1H), 7.97 (d, $J = 8.1$ Hz, 1H), 7.57 (d, $J = 8.1$ Hz, 1H), 7.45 (d, $J = 7.1$ Hz, 1H), 7.34-7.27 (m, 2H), 7.11-6.89 (m, 8H), 6.76 (t, $J = 7.5$ Hz, 1H), 6.72-6.60 (m, 2H), 6.40 (t, $J = 7.5$ Hz, 1H), 3.90 (s, 3H), 3.22 (s, 3H). **13C NMR** (101 MHz, $CDCl_3$) δ 160.9, 156.2, 150.6, 150.0, 144.8, 143.2, 140.9, 138.1, 135.9, 135.1, 131.2, 131.1, 131.0, 130.8, 130.7, 129.9, 128.7, 128.3, 126.8, 126.5, 126.4, 126.3, 126.1, 125.6, 125.1, 121.3, 118.0, 116.8, 115.5, 109.8, 57.3, 56.9. **HRMS** (ESI) for $C_{32}H_{25}N_2O_3$ $[M+H]^+$ calcd: 485.1860; found: 485.1868.

(R)-4,5-Diphenyl-6-(quinolin-8-yl)thieno[2,3-c]pyridin-7(6H)-one (3oa)



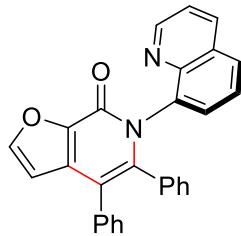
Condition C, White solid, mp: 215-216 °C, 79.3 mg, 92% yield, $[\alpha]_D^{25} = +38.0$ (c = 0.1 in CHCl_3), 98% ee, determined by HPLC analysis (Chiralpak AS-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 10.08 min, t_R (minor) = 12.74 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.93 (d, $J = 2.7$ Hz, 1H), 8.04 (d, $J = 8.2$ Hz, 1H), 7.67 (t, $J = 6.3$ Hz, 2H), 7.49 (d, $J = 7.2$ Hz, 1H), 7.42-7.32 (m, 2H), 7.26-7.10 (m, 5H), 7.04 (d, $J = 5.2$ Hz, 1H), 6.95 (d, $J = 7.5$ Hz, 1H), 6.84 (t, $J = 7.5$ Hz, 1H), 6.75 (t, $J = 7.7$ Hz, 2H), 6.52 (t, $J = 7.6$ Hz, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 158.7, 150.9, 146.8, 144.8, 143.2, 137.3, 137.1, 136.1, 134.6, 133.3, 131.1, 131.0, 130.9, 130.1, 129.4, 128.8, 128.0, 127.5, 126.9, 126.6, 125.8, 125.2, 121.6, 117.5. **HRMS** (ESI) for $\text{C}_{28}\text{H}_{19}\text{N}_2\text{OS}$ $[\text{M}+\text{H}]^+$ calcd: 431.1213; found: 431.1216.

(R)-6,7-Diphenyl-5-(quinolin-8-yl)thieno[3,2-c]pyridin-4(5H)-one (3pa)



Condition C, White solid, mp: 265-266 °C, 80.2 mg, 93% yield, $[\alpha]_D^{25} = +101.633$ (c = 0.1 in CHCl_3), 89% ee, determined by HPLC analysis (Chiralpak AS-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 10.17 min, t_R (major) = 36.26 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.94-8.92 (m, 1H), 8.04 (dd, $J = 8.3, 1.5$ Hz, 1H), 7.78 (d, $J = 5.4$ Hz, 1H), 7.68-7.62 (m, 1H), 7.47 (dd, $J = 7.3, 1.2$ Hz, 1H), 7.39-7.32 (m, 2H), 7.30-7.25 (m, 3H), 7.21-7.13 (m, 3H), 6.96 (d, $J = 7.6$ Hz, 1H), 6.88-6.72 (m, 3H), 6.52 (t, $J = 7.5$ Hz, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 159.3, 151.4, 150.9, 144.8, 141.9, 137.5, 137.1, 136.1, 134.3, 130.9, 130.4, 130.0, 129.8, 128.8, 128.3, 127.6, 127.4, 126.9, 126.7, 126.3, 125.8, 124.9, 121.6, 116.5. **HRMS** (ESI) for $\text{C}_{28}\text{H}_{19}\text{N}_2\text{OS}$ $[\text{M}+\text{H}]^+$ calcd: 431.1213; found: 431.1215.

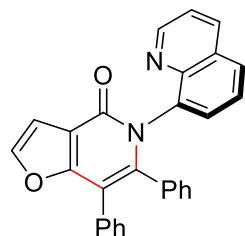
(R)-4,5-Diphenyl-6-(quinolin-8-yl)furo[2,3-c]pyridin-7(6H)-one (3qa)



Condition C, White solid, mp: 269-271 °C, 48.2 mg, 58% yield, $[\alpha]_D^{25} = +303.8$ (c = 0.1 in CHCl_3), 93% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 6.03 min, t_R (major) = 10.03 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.93-8.92 (m, 1H), 8.08-8.00 (m, 1H), 7.80 (d, $J = 1.8$ Hz,

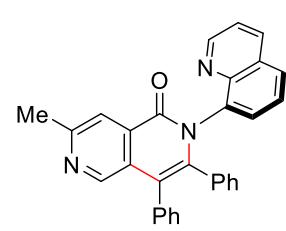
1H), 7.67 (d, J = 8.1 Hz, 1H), 7.48 (d, J = 7.3 Hz, 1H), 7.41-7.31 (m, 2H), 7.17-7.11 (m, 5H), 6.94 (d, J = 7.7 Hz, 1H), 6.85 (t, J = 7.4 Hz, 1H), 6.80-6.71 (m, 2H), 6.61 (d, J = 1.8 Hz, 1H), 6.52 (t, J = 7.6 Hz, 1H). **^{13}C NMR** (101 MHz, CDCl_3) δ 153.6, 150.9, 148.4, 144.8, 142.6, 142.4, 137.1, 136.4, 136.2, 134.7, 134.5, 131.2, 130.5, 130.4, 129.0, 128.9, 128.0, 127.6, 126.9, 126.8, 126.7, 125.8, 121.7, 114.8, 107.9. **HRMS** (ESI) for $\text{C}_{28}\text{H}_{19}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ calcd: 415.1441; found: 415.1451.

(R)-6,7-Diphenyl-5-(quinolin-8-yl)furo[3,2-c]pyridin-4(5H)-one (3ra)



Condition C, White solid, mp: 291-292 °C, 78.1 mg, 94% yield, $[\alpha]_D^{25} = +280.1$ (c = 0.1 in CHCl_3), 95% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 6.52 min, t_R (major) = 7.17 min. **^1H NMR** (400 MHz, CDCl_3) δ 8.98-8.89 (m, 1H), 8.04 (d, J = 8.2 Hz, 1H), 7.66 (d, J = 8.1 Hz, 1H), 7.54 (d, J = 1.9 Hz, 1H), 7.46 (d, J = 6.4 Hz, 1H), 7.39-7.32 (m, 2H), 7.25-7.11 (m, 6H), 6.96 (d, J = 7.7 Hz, 1H), 6.87 (t, J = 7.5 Hz, 1H), 6.82-6.72 (m, 2H), 6.54 (t, J = 7.5 Hz, 1H). **^{13}C NMR** (101 MHz, CDCl_3) δ 159.6, 159.2, 150.9, 144.8, 144.2, 143.6, 137.4, 136.2, 134.1, 132.9, 131.1, 131.0, 130.1, 128.8, 127.9, 127.8, 127.2, 127.0, 126.8, 125.8, 121.6, 115.3, 111.1, 108.3. **HRMS** (ESI) for $\text{C}_{28}\text{H}_{19}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ calcd: 415.1441; found: 415.1439.

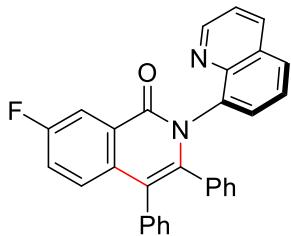
(R)-7-Methyl-3,4-diphenyl-2-(quinolin-8-yl)-2,6-naphthyridin-1(2H)-one (3sa)



Condition C, White solid, mp: 265-266 °C, 71.2 mg, 81% yield, $[\alpha]_D^{25} = +42.3$ (c = 0.1 in CHCl_3), 90% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 70:30 v/v, flow rate 1.0 mL/min, λ = 254 nm, 25 °C), t_R (minor) = 6.49 min, t_R (major) = 11.01 min. **^1H NMR** (400 MHz, CDCl_3) δ 8.90 (dd, J = 4.1, 1.7 Hz, 1H), 8.66 (s, 1H), 8.16 (s, 1H), 8.04 (d, J = 8.4 Hz, 1H), 7.65 (dd, J = 8.2, 1.4 Hz, 1H), 7.47 (dd, J = 7.3, 1.5 Hz, 1H), 7.40 – 7.31 (m, 2H), 7.28 – 7.20 (m, 2H), 7.16 (d, J = 1.9 Hz, 3H), 6.96 (d, J = 7.7 Hz, 1H), 6.88 – 6.80 (m, 1H), 6.78 – 6.64 (m, 2H), 6.55 – 6.37 (m, 1H), 2.71 (s, 3H). **^{13}C NMR** (101 MHz, CDCl_3) δ 161.7, 155.5, 150.91, 149.0, 144.5, 142.5, 137.3, 136.1, 135.0, 134.3, 131.7, 131.5, 130.8, 130.7, 130.0, 129.8, 128.9, 128.8, 128.2, 128.0, 127.6, 127.2,

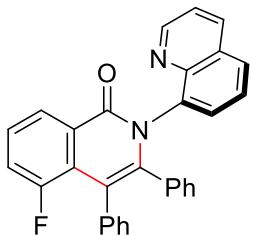
126.6, 125.8, 121.7, 119.0, 116.9, 24.4. **HRMS** (ESI) for $C_{30}H_{22}N_3O$ $[M+H]^+$ calcd: 440.1757; found: 440.1755.

(R)-7-Fluoro-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ta-C2)



Condition C, White solid, mp: 200-201 °C, 45.8 mg, 52% yield, $[\alpha]_D^{25} = +56.4$ ($c = 0.1$ in $CHCl_3$), 93% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 65:35 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 6.34 min, t_R (minor) = 15.31 min. **¹H NMR** (400 MHz, $CDCl_3$) δ 8.83 (d, $J = 2.6$ Hz, 1H), 8.14 (d, $J = 9.1$ Hz, 1H), 7.94 (d, $J = 8.1$ Hz, 1H), 7.55 (d, $J = 8.0$ Hz, 1H), 7.40 (d, $J = 7.0$ Hz, 1H), 7.28-7.06 (m, 9H), 6.88 (d, $J = 7.5$ Hz, 1H), 6.77-6.60 (m, 3H), 6.39 (t, $J = 7.4$ Hz, 1H). **¹³C NMR** (101 MHz, $CDCl_3$) δ 161.94 (d, $J = 2.9$ Hz), 161.56 (d, $J = 247.5$ Hz), 150.9, 144.7, 141.3, 137.6, 136.4, 136.1, 134.9, 134.7, 131.8, 131.6, 130.9, 130.8, 129.8, 128.8, 128.3 (d, $J = 7.6$ Hz), 128.2, 128.0, 127.4, 127.3 (d, $J = 8.0$ Hz), 127.0, 126.8, 126.5, 125.8, 121.6, 121.1 (d, $J = 23.3$ Hz), 118.2, 113.4 (d, $J = 22.8$ Hz). **¹⁹F NMR** (376 MHz, $CDCl_3$) δ -113.7. **HRMS** (ESI) for $C_{30}H_{20}FN_2O$ $[M+H]^+$ calcd: 443.1552; found: 443.1561.

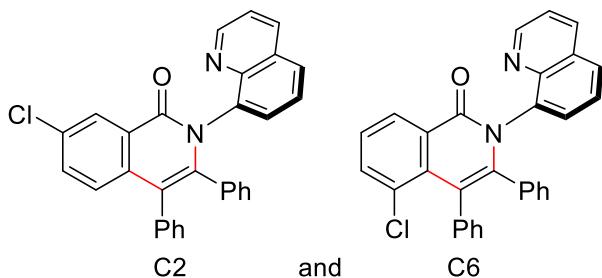
(R)-5-Fluoro-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ta-C6)



Condition C, White solid, mp: 222-223 °C, 38.1 mg, 43% yield, $[\alpha]_D^{25} = +82.2$ ($c = 0.1$ in $CHCl_3$), 95% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 65:35 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 7.15 min, t_R (minor) = 17.05 min. **¹H NMR** (400 MHz, $CDCl_3$) δ 8.92 (d, $J = 2.6$ Hz, 1H), 8.43 (d, $J = 7.9$ Hz, 1H), 8.03 (d, $J = 7.7$ Hz, 1H), 7.64 (d, $J = 8.1$ Hz, 1H), 7.48-7.28 (m, 5H), 7.24-7.07 (m, 5H), 6.93 (d, $J = 7.6$ Hz, 1H), 6.80 (t, $J = 7.5$ Hz, 1H), 6.69 (d, $J = 6.9$ Hz, 2H), 6.45 (t, $J = 7.5$ Hz, 1H). **¹³C NMR** (101 MHz, $CDCl_3$) δ 161.8, 158.9 (d, $J = 256.0$ Hz), 150.9, 144.6, 143.4, 138.6 (d, $J = 3.4$ Hz), 137.5, 136.1, 134.5, 131.0 (d, $J = 2.8$ Hz), 131.0, 130.9, 129.9, 128.8 (d, $J = 2.6$ Hz), 127.9, 127.4, 127.3, 127.2, 126.9 (d, $J = 9.1$ Hz), 126.6, 126.4 (d, $J = 5.2$ Hz), 125.8, 124.7 (d, $J = 3.7$ Hz), 121.7, 119.6 (d, $J = 22.4$ Hz), 114.4. **¹⁹F NMR** (376 MHz, $CDCl_3$) δ -108.7. **HRMS** (ESI) for $C_{30}H_{20}FN_2O$ $[M+H]^+$ calcd: 443.1554; found: 443.1566.

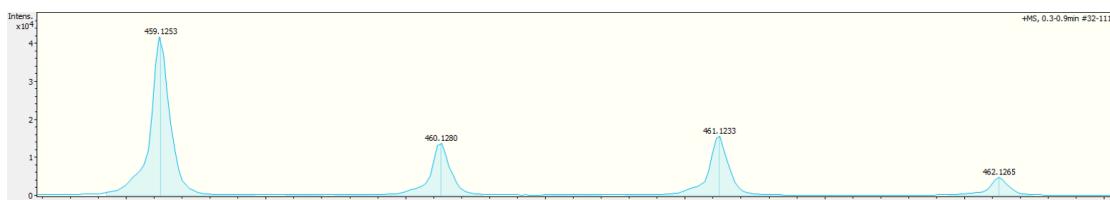
(R)-7-Chloro-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ua-C6)

(R)-5-Chloro-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ua-C2)

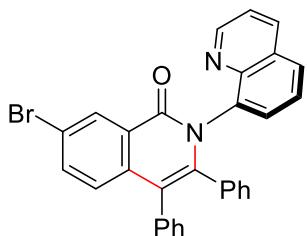


Condition C, White solid, mp: 238-239 °C, 85.5 mg, 93% yield, $[\alpha]_D^{25} = -4.9$ (c = 0.1 in CHCl_3), 94% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 65:35 v/v, flow

rate 1.0 mL/min, $\lambda = 220$ nm, 25 °C), t_R (major) = 8.68 min for major isomer, t_R (minor) = 31.37 min for major isomer. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.89 (d, $J = 3.2$ Hz, 1.06H, C2 + C6), 8.61 (d, $J = 8.0$ Hz, 0.06H, C6), 8.55 (s, 1H, C2), 7.99 (d, $J = 8.0$ Hz, 1.06H, C2 + C6), 7.60 (d, $J = 8.0$ Hz, 1.06H, C2 + C6), 7.50-7.46 (m, 2.12H, C2 + C6), 7.39 (d, $J = 7.6$ Hz, 0.12H, C6), 7.34-7.30 (m, 2H, C2), 7.25-7.13 (m, 6.36H, C2 + C6), 6.96 (d, $J = 7.6$ Hz, 1.06H, C2 + C6), 6.83-6.67 (m, 3.12H, C2 + C6), 6.62 (d, $J = 7.6$ Hz, 0.06H, C6), 6.48-6.44 (m, 1H, C2), 6.39 (d, $J = 7.6$ Hz, 0.06H, C6). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.0, 161.7, 150.8, 144.5, 143.8, 142.7, 142.3, 138.2, 137.4, 136.6, 136.3, 136.1, 136.0, 135.4, 134.7, 134.6, 132.8, 132.7, 132.3, 132.2, 131.7, 131.5, 130.9, 130.8, 130.7, 129.6, 128.8, 128.2, 128.0, 127.7, 127.5, 127.4, 127.2, 127.1, 127.0, 126.7, 126.6, 126.5, 126.2, 125.7, 121.6, 121.4, 118.0, 117.8. **HRMS (ESI)** for $\text{C}_{30}\text{H}_{20}\text{ClN}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 459.1259 (^{35}Cl) and 461.1243 (^{37}Cl); found: 459.1253 (^{35}Cl) and 461.1233 (^{37}Cl).

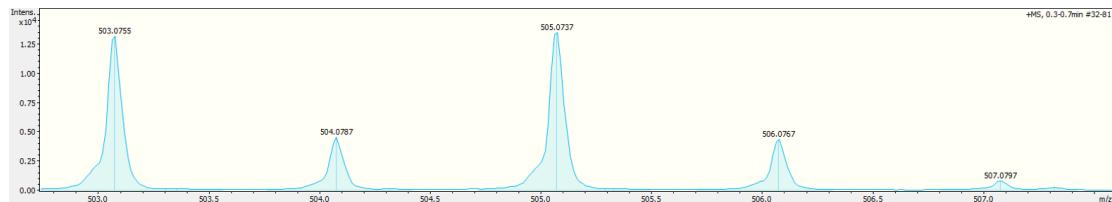


(R)-7-Bromo-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3va)

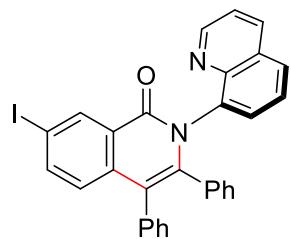


Condition C, White solid, mp: 241-242 °C, 96.8 mg, 96% yield, $[\alpha]_D^{25} = -22.23$ (c = 0.1 in CHCl_3), 94% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 65:35 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 9.86 min, t_R (minor) = 39.44 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.88 (d, $J = 3.1$ Hz, 1H), 8.71 (s, 1H), 7.99 (d, $J = 8.1$ Hz, 1H), 7.62 (t, $J = 9.5$ Hz, 2H), 7.46 (d, $J = 7.1$ Hz, 1H), 7.33-7.30 (m, 2H), 7.24-7.08 (m, 6H), 6.96 (d, $J = 7.5$ Hz, 1H), 6.83-6.67 (m, 3H), 6.47 (d, $J = 7.5$ Hz, 1H). **$^{13}\text{C NMR}$**

NMR (101 MHz, CDCl_3) δ 161.5, 150.8, 144.5, 142.5, 137.4, 136.9, 136.0, 135.5, 134.6, 131.7, 131.5, 130.9, 130.7, 130.6, 129.6, 128.8, 128.2, 128.0, 127.6, 127.4, 127.0, 126.8, 126.5, 125.7, 121.6, 120.6, 118.1. **HRMS** (ESI) for $\text{C}_{30}\text{H}_{20}\text{BrN}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 503.0754 (^{79}Br) and 505.0738 (^{81}Br); found: 503.0755 (^{79}Br) and 505.0737 (^{81}Br).

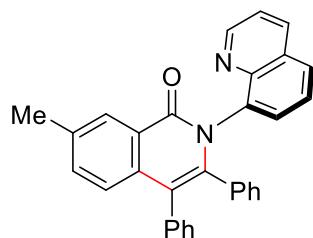


(R)-7-Iodo-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3wa)



Condition C, White solid, mp: 261-262 °C, 104.4 mg, 95% yield, $[\alpha]_D^{25} = -52.2$ ($c = 0.1$ in CHCl_3), 92% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 65:35 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 10.04 min, t_R (minor) = 42.16 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.96-8.84 (m, 2H), 8.00 (d, $J = 8.0$ Hz, 1H), 7.82 (d, $J = 7.8$ Hz, 1H), 7.61 (d, $J = 8.0$ Hz, 1H), 7.46 (d, $J = 7.1$ Hz, 1H), 7.35-7.11 (m, 7H), 7.02 (d, $J = 8.6$ Hz, 1H), 6.95 (d, $J = 7.5$ Hz, 1H), 6.86-6.64 (m, 3H), 6.46 (t, $J = 7.5$ Hz, 1H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 161.3, 150.8, 144.5, 142.7, 141.1, 137.4, 137.3, 137.2, 136.1, 136.0, 134.6, 131.7, 131.5, 130.7, 130.6, 129.6, 128.8, 128.7, 128.2, 128.0, 127.5, 127.4, 127.1, 127.0, 126.8, 126.5, 125.7, 121.6, 118.1, 91.8. **HRMS** (ESI) for $\text{C}_{30}\text{H}_{20}\text{IN}_2\text{O}$ $[\text{M}+\text{H}]^+$ calcd: 551.0615; found: 551.0619.

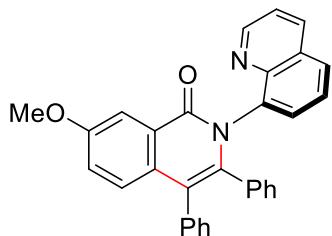
(R)-7-Methyl-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3xa)



Condition C, White solid, mp: 263-264 °C, 75.4 mg, 86% yield, $[\alpha]_D^{25} = +35.37$ ($c = 0.1$ in CHCl_3), 96% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 75:25 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 8.47 min, t_R (minor) = 31.48 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.90 (dd, $J = 4.0, 1.4$ Hz, 1H), 8.39 (s, 1H), 8.01 (d, $J = 8.1$ Hz, 1H), 7.62 (d, $J = 8.2$ Hz, 1H), 7.51-7.45 (m, 1H), 7.41 (d, $J = 8.4$ Hz, 1H), 7.36-7.31 (m, 2H), 7.24-7.12 (m, 6H), 6.96 (d, $J = 7.7$ Hz, 1H), 6.81 (t, $J = 7.5$ Hz, 1H), 6.73-6.67 (m, 2H), 6.46 (t, $J = 7.5$ Hz, 1H), 2.49 (s, 3H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.7, 150.8, 144.8, 141.0, 137.9, 136.8, 136.0, 135.9, 135.1, 134.0, 131.9, 139.8,

131.0, 130.9, 129.9, 128.8, 128.6, 128.1, 127.8, 127.2, 126.7, 126.5, 125.8, 125.7, 125.6, 121.5, 118.5, 21.5. **HRMS** (ESI) for $C_{31}H_{23}N_2O$ $[M+H]^+$ calcd: 439.1805; found: 439.1807.

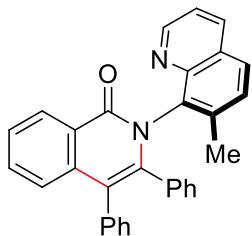
(R)-7-Methoxy-3,4-diphenyl-2-(quinolin-8-yl)isoquinolin-1(2H)-one (3ya)



Condition C, White solid, mp: 281-282 °C, 78.2 mg, 86% yield, $[\alpha]_D^{25} = +11.8$ ($c = 0.1$ in $CHCl_3$), 94% ee, determined by HPLC analysis (Chiralpak AS-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor)

= 7.62 min, t_R (major) = 12.02 min. **1H NMR** (400 MHz, $CDCl_3$) δ 8.91 (d, $J = 2.8$ Hz, 1H), 8.01 (d, $J = 7.3$ Hz, 2H), 7.61 (d, $J = 8.1$ Hz, 1H), 7.46 (d, $J = 7.1$ Hz, 1H), 7.36-7.11 (m, 9H), 6.96 (d, $J = 7.5$ Hz, 1H), 6.83-6.67 (m, 3H), 6.47 (t, $J = 7.5$ Hz, 1H), 3.90 (s, 3H). **13C NMR** (101 MHz, $CDCl_3$) δ 162.4, 158.7, 150.8, 144.8, 139.6, 137.9, 136.7, 136.0, 135.0, 132.2, 131.8, 131.6, 131.0, 130.8, 129.9, 128.7, 128.6, 128.0, 127.8, 127.5, 127.2, 126.8, 126.7, 126.5, 125.8, 122.8, 121.5, 118.5, 108.2, 55.7. **HRMS** (ESI) for $C_{31}H_{23}N_2O_2$ $[M+H]^+$ calcd: 455.1754; found: 455.1760.

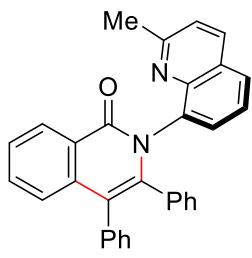
(R)-2-(7-Methylquinolin-8-yl)-3,4-diphenylisoquinolin-1(2H)-one (3za)



Condition C, White solid, mp: 290-291 °C, 37.4 mg, 41% yield, $[\alpha]_D^{25} = +132.25$ ($c = 0.1$ in $CHCl_3$), 93% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 7.39 min, t_R (minor)

= 10.39 min. **1H NMR** (400 MHz, $CDCl_3$) δ 8.89 (d, $J = 3.9$ Hz, 1H), 8.60 (d, $J = 7.9$ Hz, 1H), 7.99 (d, $J = 8.2$ Hz, 1H), 7.62-7.50 (m, 3H), 7.34-7.28 (m, 2H), 7.26-7.13 (m, 6H), 6.95 (d, $J = 7.5$ Hz, 1H), 6.80-6.70 (m, 3H), 6.46 (t, $J = 7.5$ Hz, 1H), 2.38 (s, 3H). **13C NMR** (101 MHz, $CDCl_3$) δ 162.1, 150.7, 145.2, 142.1, 138.4, 137.6, 136.8, 135.9, 135.8, 134.7, 132.5, 132.0, 131.9, 130.0, 129.2, 129.0, 128.6, 128.2, 128.0, 127.8, 127.5, 127.2, 126.8, 126.7, 126.5, 126.3, 125.8, 120.8, 119.0, 19.1. **HRMS** (ESI) for $C_{31}H_{23}N_2O$ $[M+H]^+$ calcd: 439.1805; found: 439.1817.

(R)-2-(2-Methylquinolin-8-yl)-3,4-diphenylisoquinolin-1(2H)-one (3aaaa)



Condition C, White solid, mp: 262-263 °C, 30.0 mg, 33% yield,

$[\alpha]_D^{25} = +38.25$ ($c = 0.1$ in CHCl_3), 88% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (major) = 8.68 min, t_R (minor) = 28.15 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.59 (d, $J = 7.8$ Hz, 1H), 7.86 (d, $J = 8.4$ Hz, 1H), 7.62-7.49 (m, 4H), 7.30 (t, $J = 8.0$ Hz, 2H), 7.24-7.13 (m, 6H), 6.95 (d, $J = 7.6$ Hz, 1H), 6.79 (t, $J = 7.5$ Hz, 1H), 6.74 (d, $J = 7.7$ Hz, 1H), 6.69 (t, $J = 7.4$ Hz, 1H), 6.48 (t, $J = 7.6$ Hz, 1H), 2.65 (s, 3H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 163.0, 159.4, 144.2, 142.4, 138.2, 137.2, 136.8, 135.8, 135.1, 132.4, 132.0, 131.8, 130.8, 130.7, 130.4, 128.5, 128.3, 128.0, 127.2, 127.1, 126.8, 126.6, 126.5, 126.2, 125.8, 125.6, 124.8, 122.4, 118.3, 25.9. **HRMS** (ESI) for $\text{C}_{31}\text{H}_{23}\text{N}_2\text{O} [\text{M}+\text{H}]^+$ calcd: 439.1805; found: 439.1807.

4. X-Ray Crystallographic Structures of Products

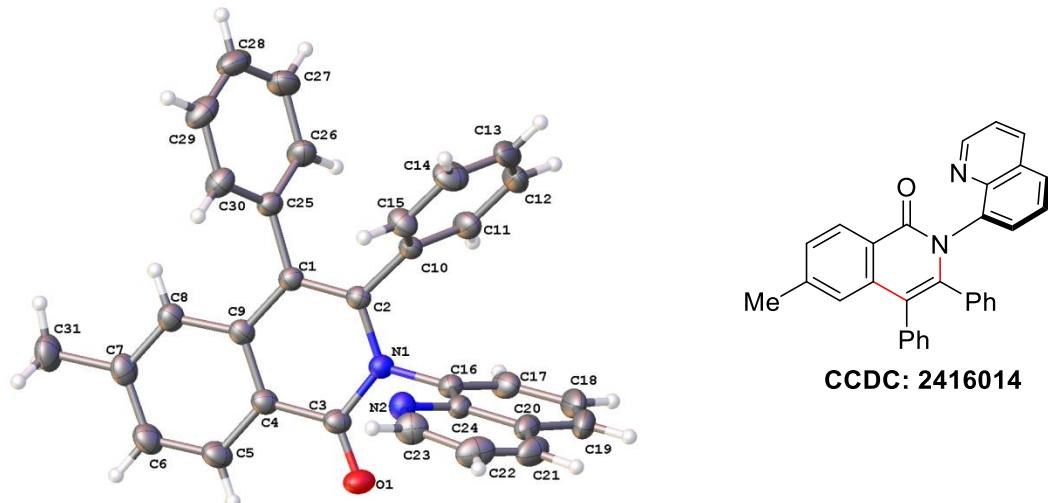


Figure S2. Single-crystal structure of **3aa** (CCDC: 2416014).

Table 9. Crystal data and structure refinement for **3aa**

Identification code	230327c_0m	
Empirical formula	C ₃₁ H ₂₂ N ₂ O	
Formula weight	438.50	
Temperature	200.0 K	
Wavelength	1.34139 Å	
Crystal system	Orthorhombic	
Space group	P2 ₁ 2 ₁ 2 ₁	
Unit cell dimensions	a = 6.6897(2) Å	= 90°.
	b = 8.5739(3) Å	= 90°.
	c = 40.2002(14) Å	= 90°.
Volume	2305.76(13) Å ³	
Z	4	
Density (calculated)	1.263 Mg/m ³	
Absorption coefficient	0.381 mm ⁻¹	
F(000)	920	
Crystal size	0.3 x 0.2 x 0.2 mm ³	
Theta range for data collection	4.879 to 72.378°.	
Index ranges	-9<=h<=8, -10<=k<=12, -56<=l<=55	
Reflections collected	51497	
Independent reflections	6644 [R(int) = 0.0412]	
Completeness to theta = 53.594°	95.1 %	

Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	0.7536 and 0.6360
Refinement method	Full-matrix least-squares on F^2
Data / restraints / parameters	6644 / 0 / 309
Goodness-of-fit on F^2	1.164
Final R indices [$I > 2\sigma(I)$]	$R_1 = 0.0449$, $wR_2 = 0.1272$
R indices (all data)	$R_1 = 0.0451$, $wR_2 = 0.1274$
Absolute structure parameter	0.03(5)
Extinction coefficient	0.011(2)
Largest diff. peak and hole	0.260 and -0.217 e. \AA^{-3}

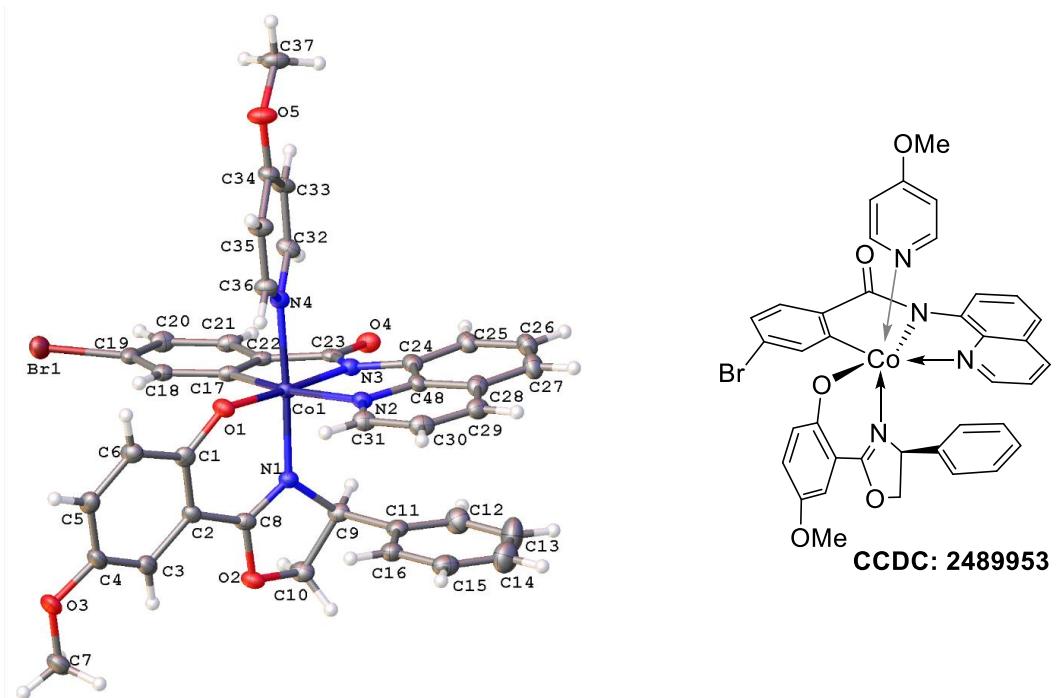


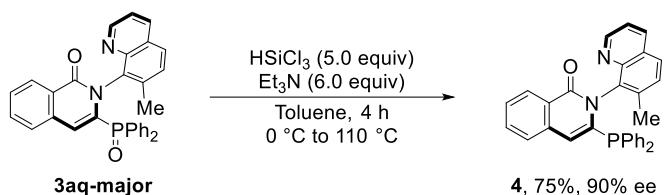
Figure S3. Single-crystal structure of **[Co]-1** (CCDC: 2489953).

Table 10. Crystal data and structure refinement for **[Co]-1**

Identification code	t	
Empirical formula	C ₄₁ H ₃₇ BrCoN ₄ O ₅	
Formula weight	804.58	
Temperature	100(2) K	
Wavelength	1.34139 Å	
Crystal system	Monoclinic	
Space group	P2 ₁	
Unit cell dimensions	a = 13.4639(6) Å b = 33.6616(14) Å c = 17.1903(7) Å	a = 90°. b = 90°. g = 90°.
	109.5370(10)°.	
Volume	7342.4(5) Å ³	
Z	8	
Density (calculated)	1.456 Mg/m ³	
Absorption coefficient	3.712 mm ⁻¹	
F(000)	3304	
Crystal size	0.150 x 0.120 x 0.100 mm ³	

Theta range for data collection	2.284 to 57.142°
Index ranges	-16<=h<=16, -42<=k<=42, -21<=l<=21
Reflections collected	236844
Independent reflections	29970 [R(int) = 0.0528]
Completeness to theta = 53.594°	99.8 %
Absorption correction	Semi-empirical from equivalents
Max. and min. transmission	0.7512 and 0.6311
Refinement method	Full-matrix least-squares on F ²
Data / restraints / parameters	29970 / 0 / 1882
Goodness-of-fit on F ²	1.047
Final R indices [I>2sigma(I)]	R1 = 0.0376, wR2 = 0.0981
R indices (all data)	R1 = 0.0389, wR2 = 0.0988
Absolute structure parameter	0.0056(12)
Extinction coefficient	n/a
Largest diff. peak and hole	1.303 and -1.323 e.Å ⁻³

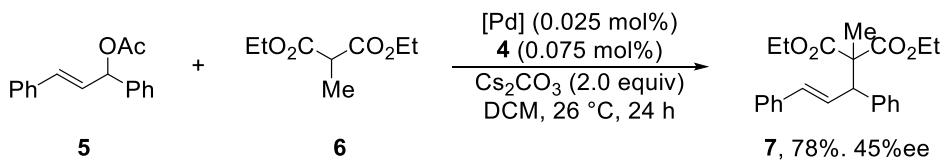
5. Synthetic application



Procedure for synthesis of 4: According to the reported literature,^[6] solution of **3aq-major** (48.6 mg, 0.1 mmol) in toluene (1 mL) was frozen using an EtOH/liquid nitrogen bath, to which trichlorosilane (47.4 mg, 0.5 mmol) and triethylamine (42.5 mg, 0.6 mmol) were added. The mixture was stirred at $110\text{ }^\circ\text{C}$ under nitrogen for 4 h. After cooling to room temperature, a saturated aqueous solution of NaHCO_3 (1 mL) was added, and the mixture was stirred for 5 min. The mixture was filtered through a pad of alumina and evaporated in vacuo to give the crude product. Purified by flash chromatography (hexane/EtOAc = 3:1) to afford the desired product **4**.

(R)-3-(Diphenylphosphanyl)-2-(7-methylquinolin-8-yl)isoquinolin-1(2H)-one (4)

Yellow solid, mp: 220-221 $^\circ\text{C}$, 35.3 mg, 75% yield, $[\alpha]_D^{25} = -78.0$ ($c = 0.1$ in CHCl_3), 90% ee, determined by HPLC analysis (Chiralpak AD-H column, hexane/*i*-PrOH, 80:20 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 $^\circ\text{C}$), t_R (major) = 8.39 min, t_R (minor) = 17.01 min. **$^1\text{H NMR}$** (400 MHz, CDCl_3) δ 8.58 (d, $J = 3.0$ Hz, 1H), 8.43 (d, $J = 8.0$ Hz, 1H), 8.05 (d, $J = 7.9$ Hz, 1H), 7.81 (d, $J = 8.4$ Hz, 1H), 7.70-7.60 (m, 1H), 7.51-7.47 (m, 1H), 7.44-7.32 (m, 5H), 7.30-7.26 (m, 1H), 7.25-7.07 (m, 7H), 6.39 (s, 1H), 2.06 (s, 3H). **$^{13}\text{C NMR}$** (101 MHz, CDCl_3) δ 162.8 (d, $J = 1.7$ Hz), 150.8, 145.8 (d, $J = 11.1$ Hz), 145.1 (d, $J = 2.8$ Hz), 139.4 (d, $J = 3.1$ Hz), 136.3 (d, $J = 140.5$ Hz), 135.1 (d, $J = 4.6$ Hz), 134.7, 134.5, 134.0 (d, $J = 10.8$ Hz), 134.0, 133.8, 133.6 (d, $J = 10.1$ Hz), 132.2, 129.7, 129.0, 129.0, 128.8, 128.8, 128.7, 128.5, 128.3, 128.2, 127.2, 126.7 (d, $J = 115.8$ Hz), 126.4, 120.7, 114.5, 18.56 (d, $J = 4.4$ Hz). **$^{31}\text{P NMR}$** (162 MHz, CDCl_3) δ -12.2. **HRMS** (ESI) for $\text{C}_{31}\text{H}_{24}\text{N}_2\text{OP}$ $[\text{M}+\text{H}]^+$ calcd: 471.1621; found: 471.1610.



Procedure for synthesis of 7: According to the reported literature^[7], a mixture of $[\text{PdCl}(\eta^3\text{-C}_3\text{H}_5)]_2$ (0.0025 mmol, 0.92 mg), ligand **4** (0.0075 mmol, 3.4 mg), and Cs_2CO_3 (0.2

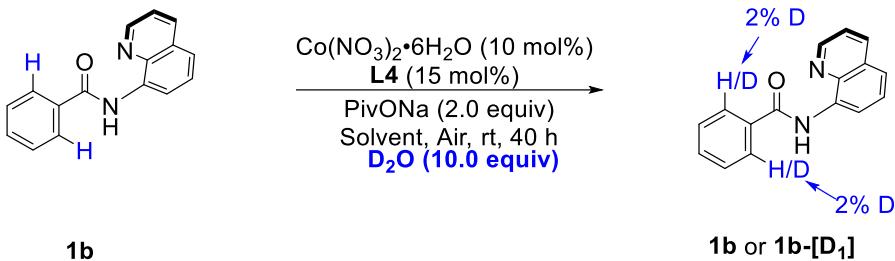
mmol, 65.2 mg) in dichloromethane (0.5 mL) was stirred for 30 min at room temperature. 1,3-Diphenyl-2-propenyl acetate **5** (0.1 mmol, 25.2 mg), diethyl 2-methylmalonate **6** (0.2 mmol, 34 μ L) were added with additional dichloromethane (1.0 mL). The resulting mixture was stirred for 24 h at 26 °C, and passed through a pad of silica gel with EtOAc, and the solvent removed under vacuum. The residue was chromatographed on silica gel with EtOAc/hexane = 1/4 to afford the product **7**.

Diethyl (E)-2-(1,3-diphenylallyl)-2-methylmalonate (7)

colorless oil, 28.6 mg, 78% yield, $[\alpha]_D^{25} = -15.8$ (c = 0.1 in CHCl₃), 45% ee, determined by HPLC analysis (Chiralpak IG-H column, hexane/*i*-PrOH, 95:5 v/v, flow rate 1.0 mL/min, $\lambda = 254$ nm, 25 °C), t_R (minor) = 11.43 min, t_R (major) = 14.50 min. **¹H NMR** (400 MHz, CDCl₃) δ 7.34-7.25 (m, 8H), 7.24-7.19 (m, 2H), 6.70 (dd, *J* = 15.7, 8.9 Hz, 1H), 6.44 (d, *J* = 15.7 Hz, 1H), 4.29 (d, *J* = 8.9 Hz, 1H), 4.22-4.13 (m, 2H), 4.08 (q, *J* = 7.1 Hz, 2H), 1.47 (s, 3H), 1.22 (t, *J* = 7.1 Hz, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). **¹³C NMR** (101 MHz, CDCl₃) δ 171.3, 171.1, 139.6, 137.5, 132.7, 129.8, 129.0, 128.6, 128.3, 127.4, 127.2, 126.5, 61.5, 61.4, 59.0, 53.9, 18.9, 14.2, 14.1. **HRMS** (ESI) for C₂₃H₂₆NaO₄ [M+H]⁺ calcd: 389.1723; found: 389.1713.

6. Mechanistic studies

6.1. H/D exchange experiments



Under air, $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ (0.01 mmol, 2.91 mg), **L4** (0.015 mmol, 4.04 mg) and HFIP (1 mL) were added to a 10 mL vial. After stirring at room temperature for 2 h, **1b** (0.1 mmol), PivONa (24.8 mg, 0.4 mmol) and D_2O (20 mg, 1 mmol) were added to the resulting mixture. The mixture was stirred at room temperature for approximately 40 h. The concentrated reaction residue was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (10:1) as eluent. ¹H NMR analysis showed that the D contents in the recovered substrate **1b** was 2%.

6.2. Parallel KIE experiments

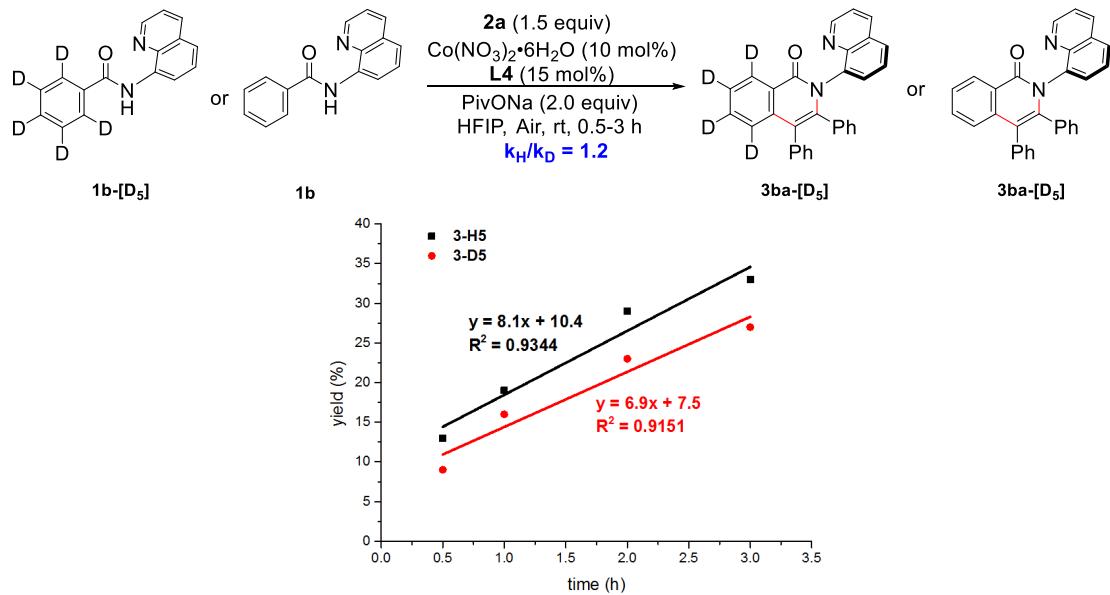
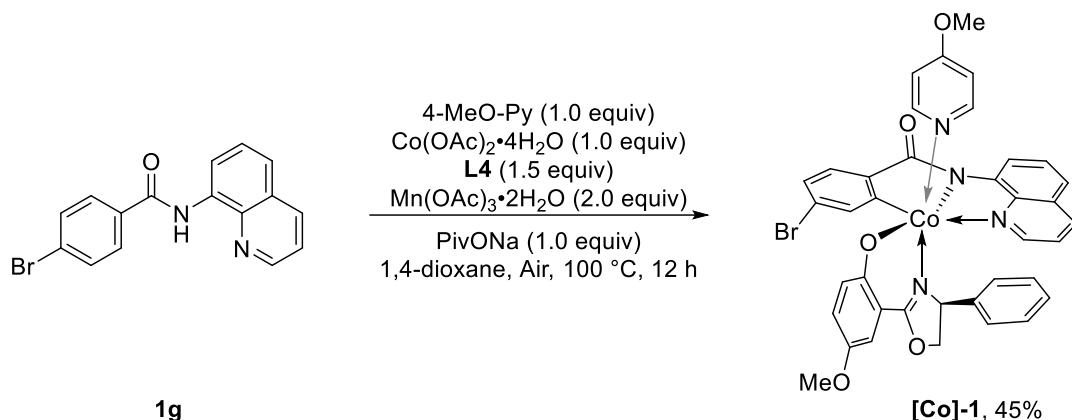


Figure S4 Parallel KIE experiments

Under air, $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ (0.01 mmol, 2.91 mg), **L4** (0.015 mmol, 4.04 mg) and HFIP (1 mL) were added to a 10 mL vial. After stirring at room temperature for 2 h, **1b** (0.1 mmol) or $[\text{D}_5]\text{-1b}$ (0.1 mmol), alkyne **2a** (26.7 mg, 0.15 mmol) and PivONa (24.8 mg, 0.2 mmol) were added to the resulting mixture. The mixture was stirred at room temperature for

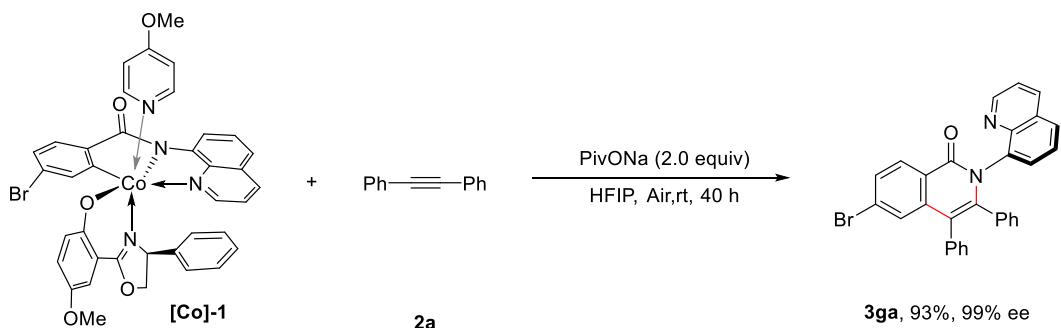
approximately 0.5 h, 1.0 h, 2.0 h, 3.0 h. The concentrated reaction residue was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (3:1-1.5:1) as eluent. The KIE was determined as $k_H/k_D = 8.1/6.9 = 1.2$.

6.3. [Co]-1 via Asymmetric C-H Cleavage



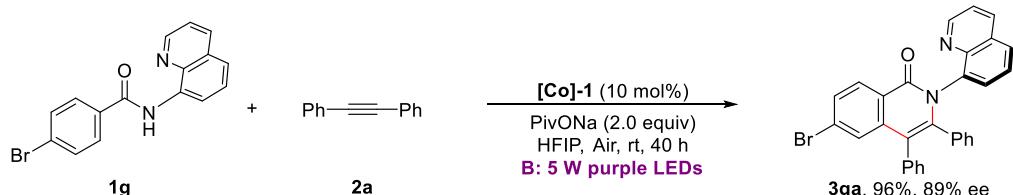
Procedure for synthesis of [Co]-1⁽²⁾: A dried vial equipped with a stirring bar was charged with **1g** (327.0 mg, 1.0 mmol), Co(OAc)₂•4H₂O (249.1 mg, 1.0 equiv), **L4** (404.0 mg, 1.5 equiv), 4-methoxypyridine (109.1 mg, 1.0 equiv), NaOPiv (124.8 mg, 1.0 equiv), Mn(OAc)₃•3H₂O (536.2 mg, 2.0 equiv) and dioxane (15 mL). Then, the vial was instantly placed in a heating block set at 100 °C under air for 12 h. Then, the reaction mixture was cooled to room temperature. The mixture was diluted with DCM and concentrated in vacuo. Then the mixture was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (1:3) as eluent to give the desired **[Co]-1** (343 mg, 45%, yellow solid). **¹H NMR** (400 MHz, CDCl₃) δ 9.24 (dd, *J* = 4.6, 1.3 Hz, 1H), 9.02 (d, *J* = 7.5 Hz, 1H), 7.85 (dd, *J* = 8.3, 1.1 Hz, 1H), 7.75 (dd, *J* = 4.6, 2.6 Hz, 3H), 7.61 (d, *J* = 7.9 Hz, 1H), 7.43 (dd, *J* = 8.3, 4.6 Hz, 1H), 7.36 (dd, *J* = 7.9, 1.7 Hz, 1H), 7.33-7.27 (m, 1H), 7.15 (d, *J* = 9.2 Hz, 1H), 7.07 (dd, *J* = 9.2, 3.2 Hz, 1H), 6.98 (d, *J* = 7.9 Hz, 1H), 6.95 (d, *J* = 3.2 Hz, 1H), 6.63-6.55 (m, 1H), 6.51-6.44 (m, 2H), 6.32 (d, *J* = 7.3 Hz, 2H), 6.22 (d, *J* = 7.3 Hz, 2H), 4.30 (dd, *J* = 9.3, 3.2 Hz, 1H), 4.06-3.98 (m, 1H), 3.80-3.74 (m, 4H), 3.58 (s, 3H). **¹³C NMR** (101 MHz, CDCl₃) δ 175.6, 169.4, 166.2, 165.2, 163.5, 151.5, 148.8, 147.6, 146.8, 146.6, 146.5, 139.4, 137.3, 136.9, 129.2, 128.6, 127.8, 127.4, 127.2, 126.7, 125.0, 124.4, 124.2, 123.7, 121.8, 121.3, 118.4, 109.9, 109.7, 107.6, 74.8, 66.1, 56.1, 55.5. **HRMS** (ESI) for C₂₈H₂₈NO₄ [M+H]⁺ calcd: 761.0804; found: 761.0821.

6.4. Stoichiometric Reaction of [Co]-1



Under air, **[Co]-1** (0.1 mmol, 76.1 mg), alkyne **2a** (0.15 mmol), PivONa (25.0 mg, 0.2 mmol), and HFIP (1 mL) were added to a 10 mL vial. The mixture was stirred at room temperature for approximately 40 h. The concentrated reaction residue was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (3:1-1.5:1) as eluent to give corresponding product **3ga** in 93% isolated yield with 99% ee.

6.5. **[Co]-1** as a catalyst



Under air, **[Co]-1** (0.01 mmol, 7.61 mg), substrate **1g** (0.2 mmol), alkyne **2a** (0.15 mmol), PivONa (25.0 mg, 0.2 mmol), and HFIP (1 mL) were added to a 10 mL vial. The mixture was stirred at room temperature under 5 W purple LEDs for approximately 40 h. The concentrated reaction residue was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (3:1-1.5:1) as eluent to give corresponding product **3ga** in 96% isolated yield with 89% ee.

6.6. Probing involvement of singlet oxygen by EPR studies

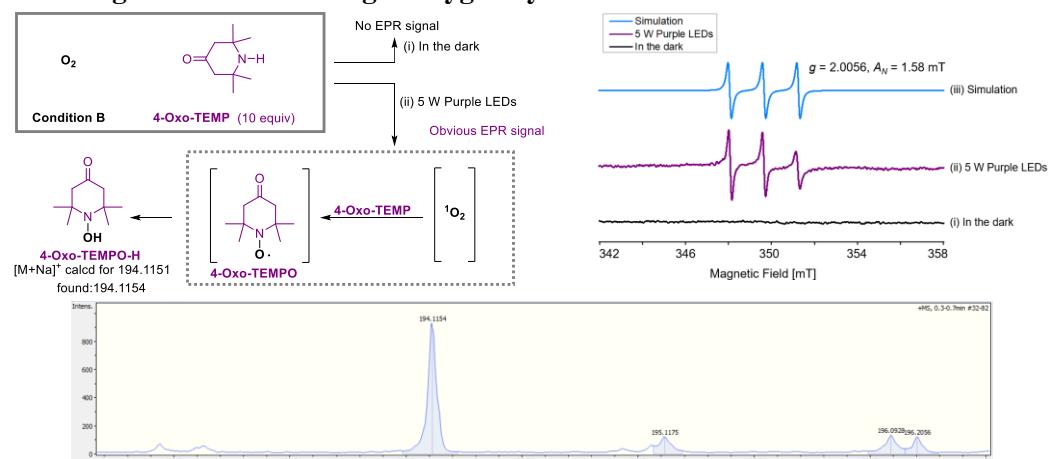
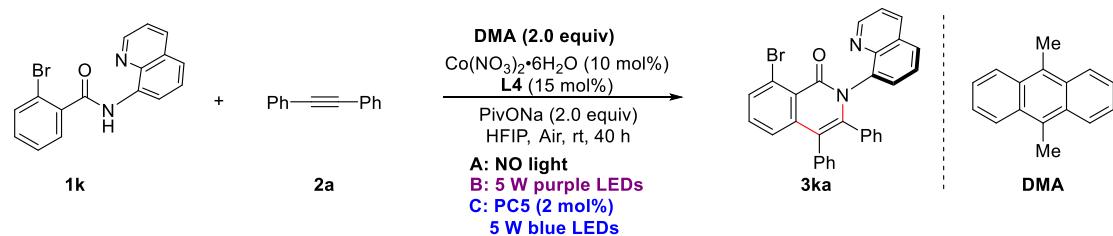


Figure S5 EPR studies and and HRMS spectra

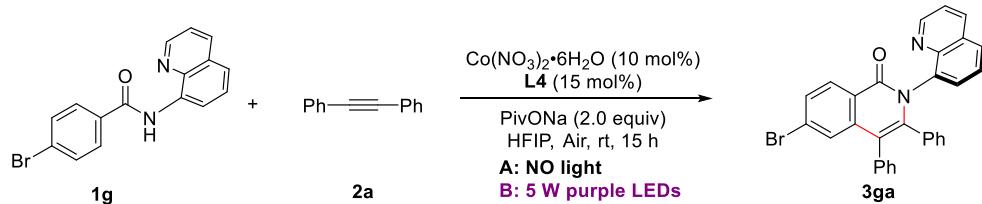
Under air, $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ (0.01 mmol, 2.91 mg), **L4** (0.015 mmol, 4.04 mg) and HFIP (1 mL) were added to a 10 mL vial. After stirring at room temperature for 2 h, corresponding substrate **1k** (0.1 mmol), alkyne **2a** (0.15 mmol, 26.7 mg), PivONa (24.8 mg, 0.2 mmol) and 4-Oxo-TEMP (155.2 mg, 1.0 mmol) were added to the resulting mixture. The mixture was stirred at room temperature under 5 W purple LEDs or in dark for 10 min. 20 μL of the mixture was transferred to a capillary tube, the tube was charged into a EPR tube and then the mixture was measured in-situ at rt. As shown in Figure S5, no signal was observed in the dark (black trace). When the mixture was irradiated with 5 W Purple LEDs for 10 min, an obvious triplet signal was recorded (purple trace).

6.7. Singlet oxygen quenching experiments



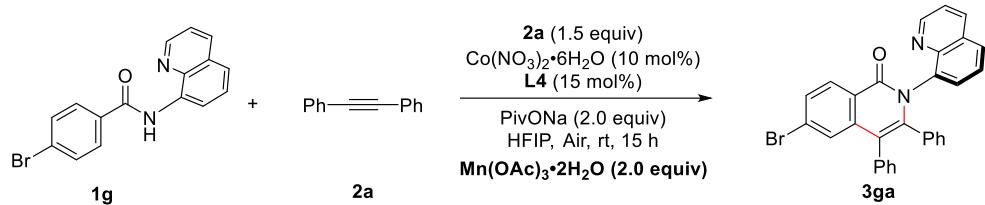
Under air, $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ (0.01 mmol, 2.91 mg), **L4** (0.015 mmol, 4.04 mg) and HFIP (1 mL) were added to a 10 mL vial. After stirring at room temperature for 2 h, corresponding substrate **1k** (0.1 mmol), alkyne **2a** (0.15 mmol, 26.7 mg), PivONa (24.8 mg, 0.2 mmol) and DMA (22.4 mg, 0.2 mmol) were added to the resulting mixture. The mixture was stirred at room temperature under the corresponding conditions for approximately 40 h. The concentrated reaction residue was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (3:1-1.5:1) as eluent to give corresponding product **3ka**.

6.8. Oxidant control



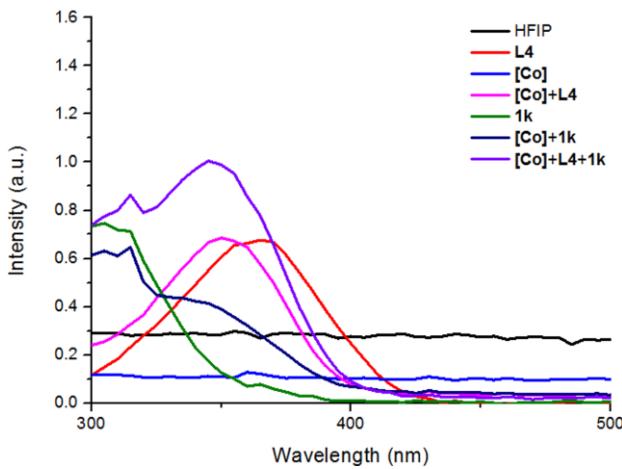
Under air, $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ (0.01 mmol, 2.91 mg), **L4** (0.015 mmol, 4.04 mg) and HFIP (1 mL) were added to a 10 mL vial. After stirring at room temperature for 2 h, corresponding substrate **1g** (0.1 mmol), alkyne **2a** (0.15 mmol, 26.7 mg), and PivONa (24.8 mg, 0.2 mmol) were added to the resulting mixture. The mixture was stirred at room temperature or under 5

W purple LEDs for approximately 15 h. The concentrated reaction residue was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (3:1-1.5:1) as eluent to give corresponding product **3ga**.



Under air, $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ (0.01 mmol, 2.91 mg), **L4** (0.015 mmol, 4.04 mg) and HFIP (1 mL) were added to a 10 mL vial. After stirring at room temperature for 2 h, corresponding substrate **1g** (0.1 mmol), alkyne **2a** (0.15 mmol, 26.7 mg), PivONa (24.8 mg, 0.2 mmol) and $\text{Mn(OAc)}_3 \cdot 2\text{H}_2\text{O}$ (53.6 mg, 0.2 mmol) were added to the resulting mixture. The mixture was stirred at room temperature for approximately 15 h. The concentrated reaction residue was purified by flash column chromatography on silica gel with petroleum ether/ethyl acetate (3:1-1.5:1) as eluent to give corresponding product **3ga**.

6.9. UV-Vis Absorption Spectra



6.10.

Figure S6 UV-VIS absorption spectra of the related component recorded in HFIP

UV-Vis spectra of the individual component were recorded, including HFIP, **L4**, $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$, substrate **1k**, $[\text{Co}]+\text{L4}$ (generated *in situ* by stirring a 1:1.5 mixture of $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ and **L4**), $[\text{Co}]+\text{1k}$ (generated *in situ* by stirring a 1:1 mixture of $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$ and **1k**), $[\text{Co}]+\text{L4+1k}$ (generated *in situ* by stirring a 1:1.5:1 mixture of $\text{Co}(\text{NO}_3)_2 \cdot 6\text{H}_2\text{O}$, **L4** and substrate **1k**). All of the samples were prepared as a 0.1 mM solution and used freshly for the measurement.

6.10. Luminescence quenching experiments

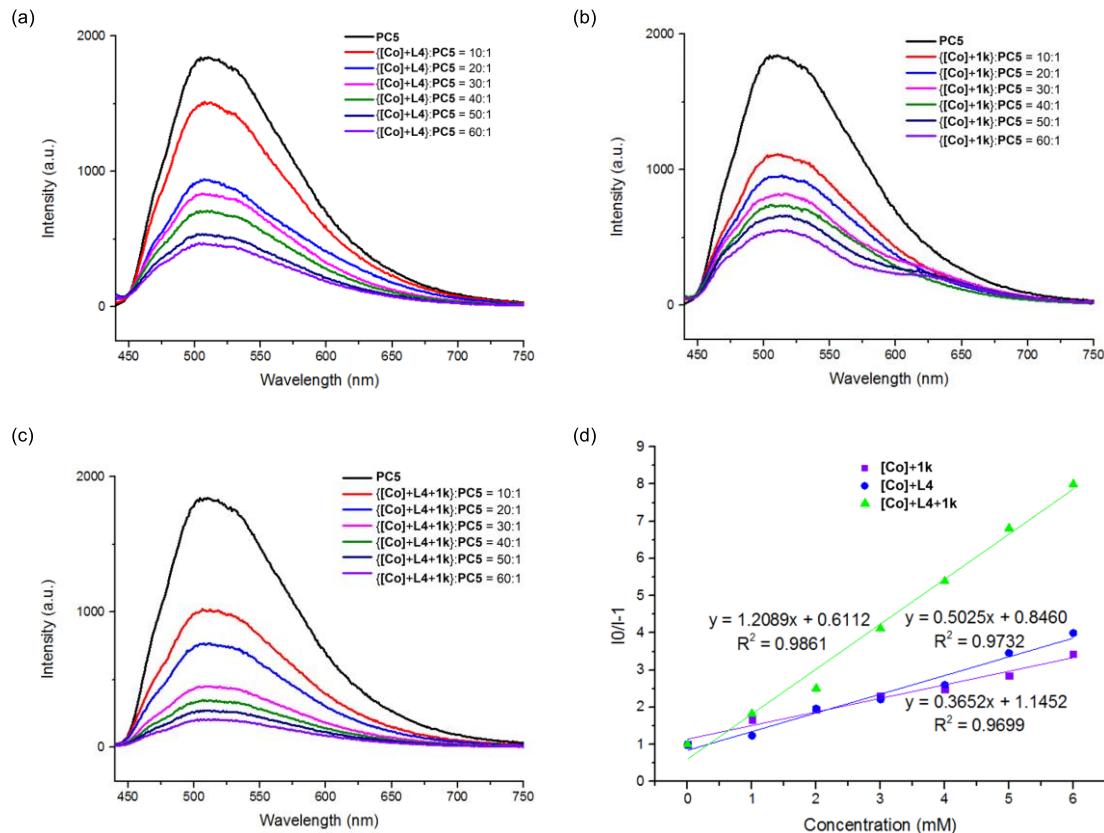


Figure S7 Stern-Volmer plot for the emission quenching of **PC5** by various concentrations of quencher (a) Photocatalyst emission quenching by **[Co]+L4**. (b) Photocatalyst emission quenching by **[Co]+1k**. (c) Photocatalyst emission quenching by **[Co]+L4+1k**. (d) Quenching Efficiency Plot. Fluorescence spectra was collected on Agilent Fluorescence Spectrophotometer G9800AS24 for all experiments. All **PC5** solutions were excited at 426 nm and the emission intensity was collected at 505 nm. In a typical experiment, the emission chromatogram of a 1×10^{-4} M solution of **PC5** in HFIP was collected. **[Co]**, $\text{Co}(\text{NO}_3)_2 \cdot \text{H}_2\text{O}$.

Fluorescence quenching experiments showed that **Co+L4+1k** had a more significant quenching effect on the **PC5** as compared to **Co+1k** and **Co+L4**.

6.11. Cyclic Voltammetry of $[\text{Co}]\text{+L4+1}$

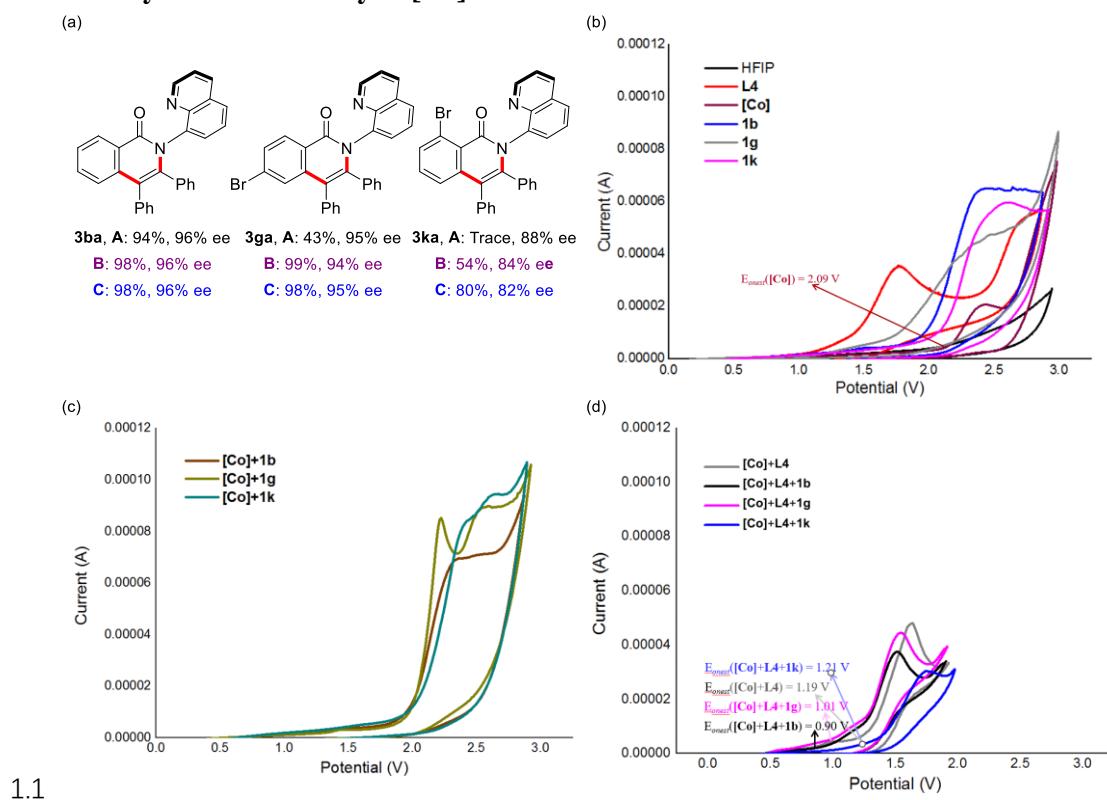


Figure S8 Cyclic Voltammetry of $[\text{Co}]\text{+L4+1}$

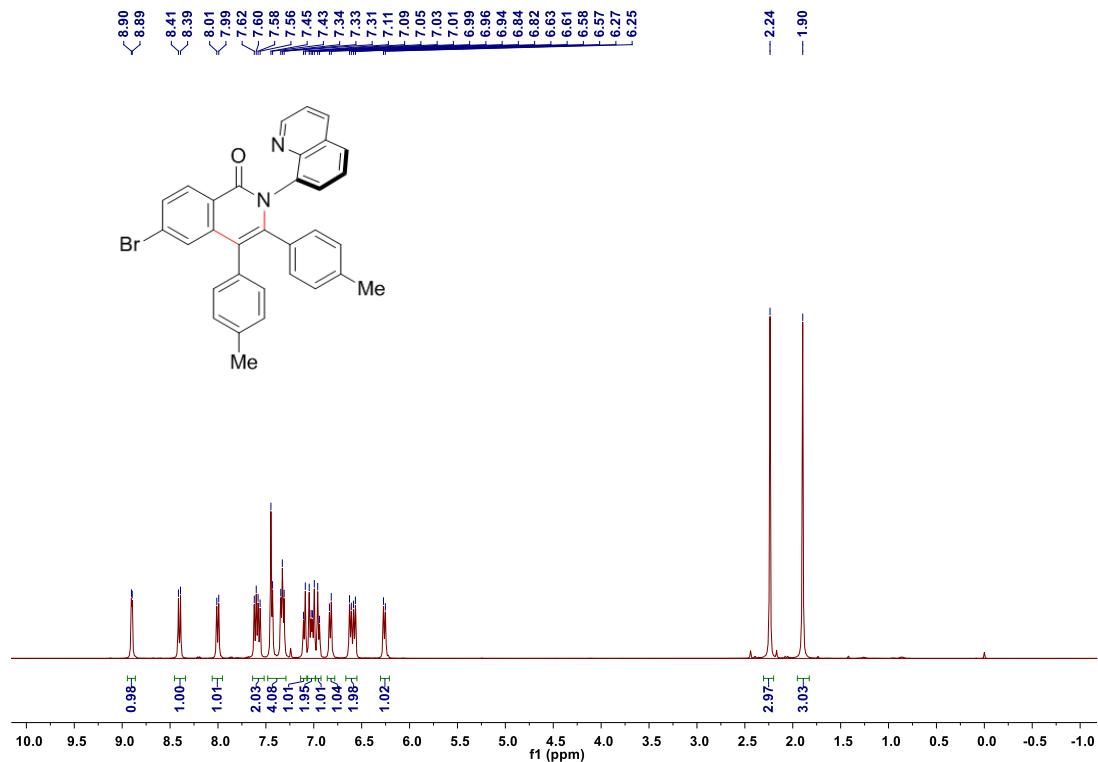
(a) The electrochemical properties of representative substrates were examined by cyclic voltammetry. (b) Cyclic Voltammetry of single component. (c) Cyclic Voltammetry of $[\text{Co}]\text{+1}$. (d) Cyclic Voltammetry of $[\text{Co}]\text{+L4+1}$. Cyclic voltammetry (CV) was taken using a CHI660D potentiostat. CV measurement was carried out in 0.1 M of $n\text{Bu}_4\text{NPF}_6$ /HFIP at a scan rate of 100 mV/s. The working electrode is a glassy carbon, the counter electrode is a Pt wire, and the reference electrode is saturated calomel electrode (SCE).

7. Additional References

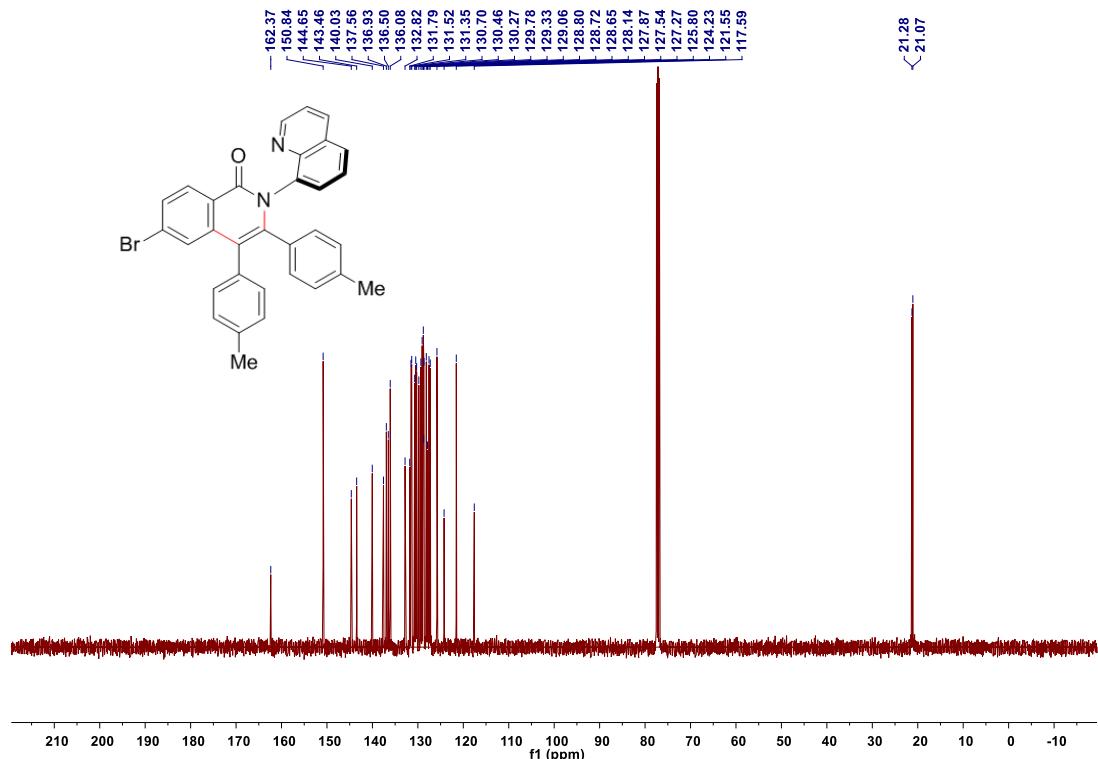
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8. Copies of NMR Spectra

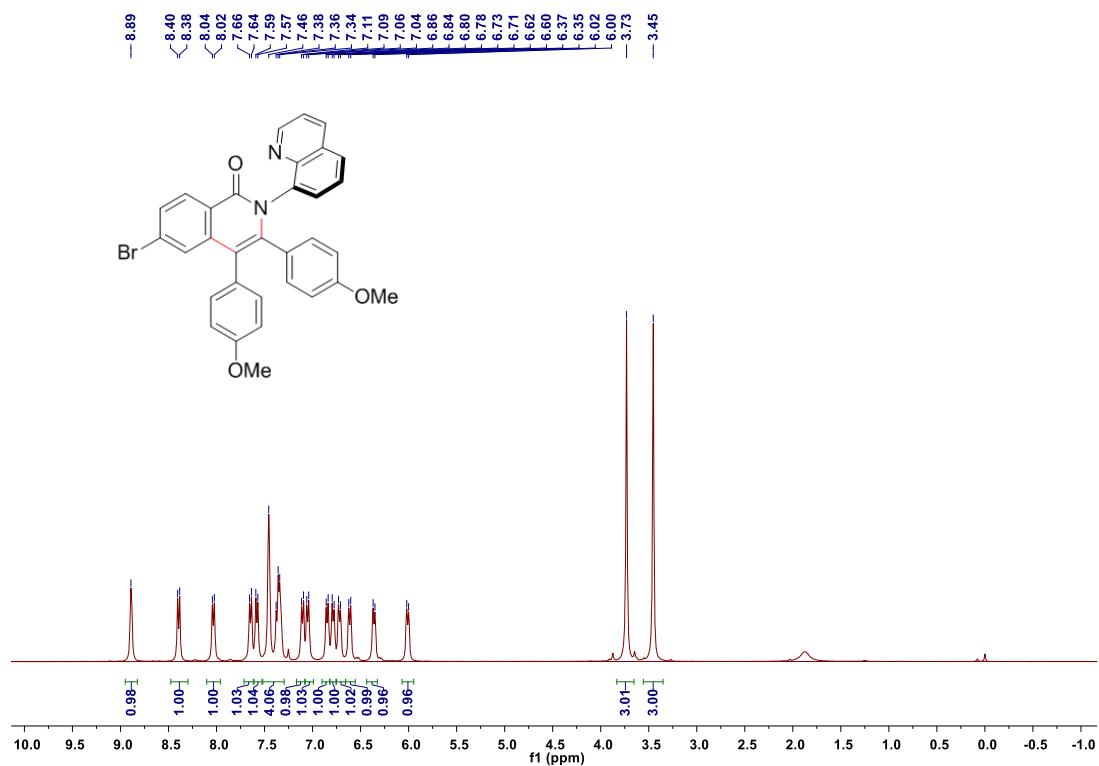
¹H NMR Spectrum of **3ab**



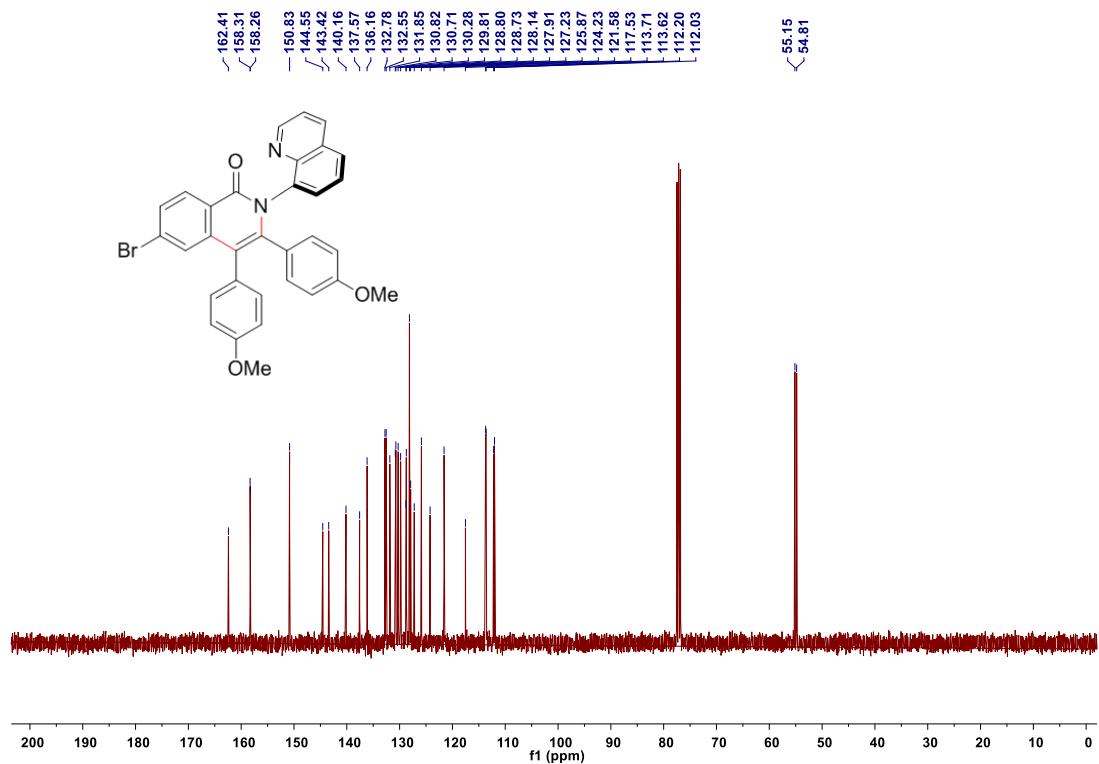
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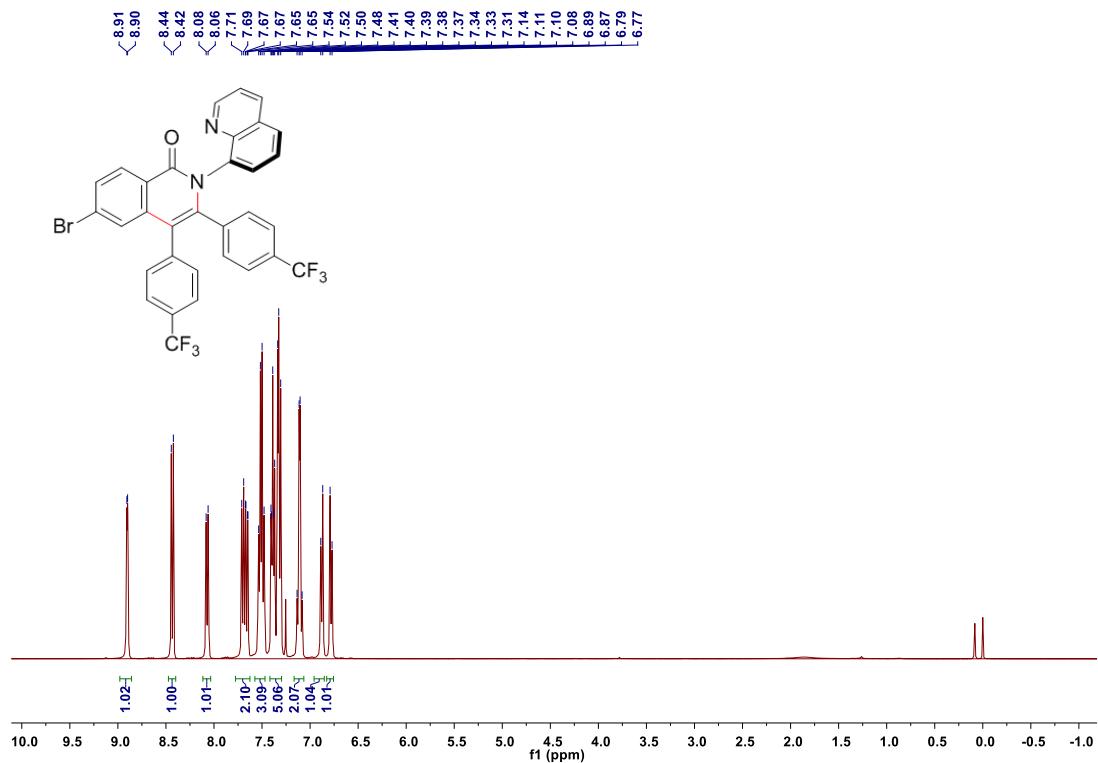
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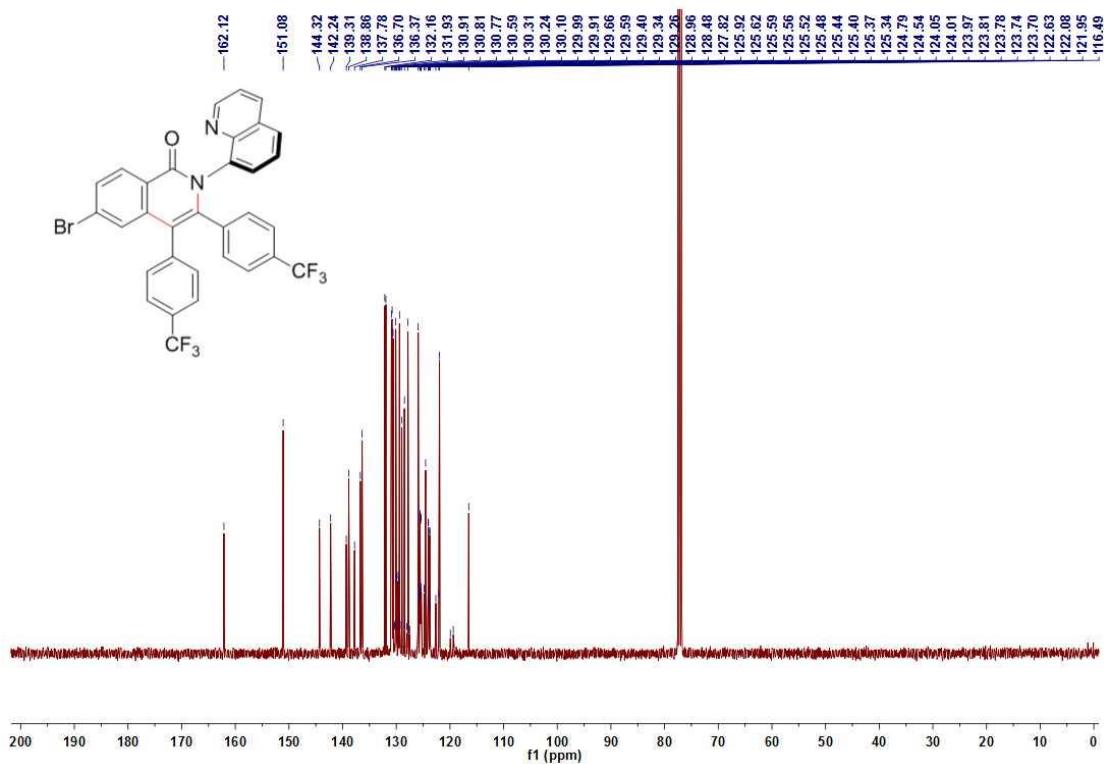
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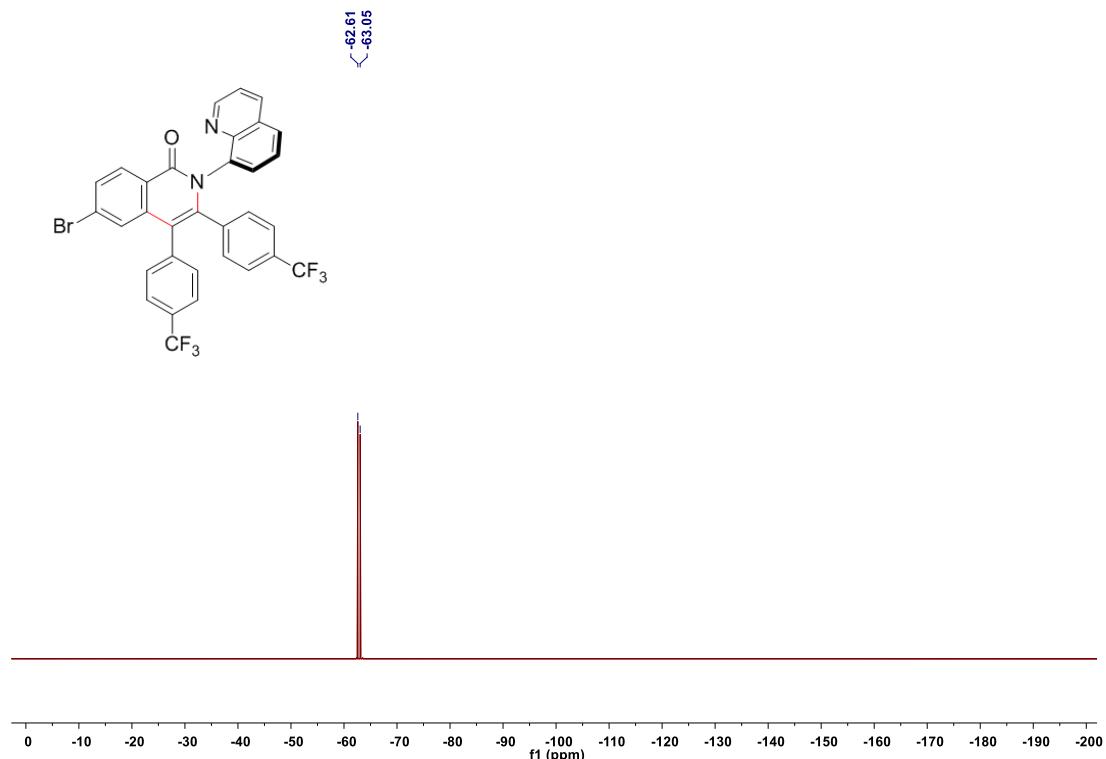
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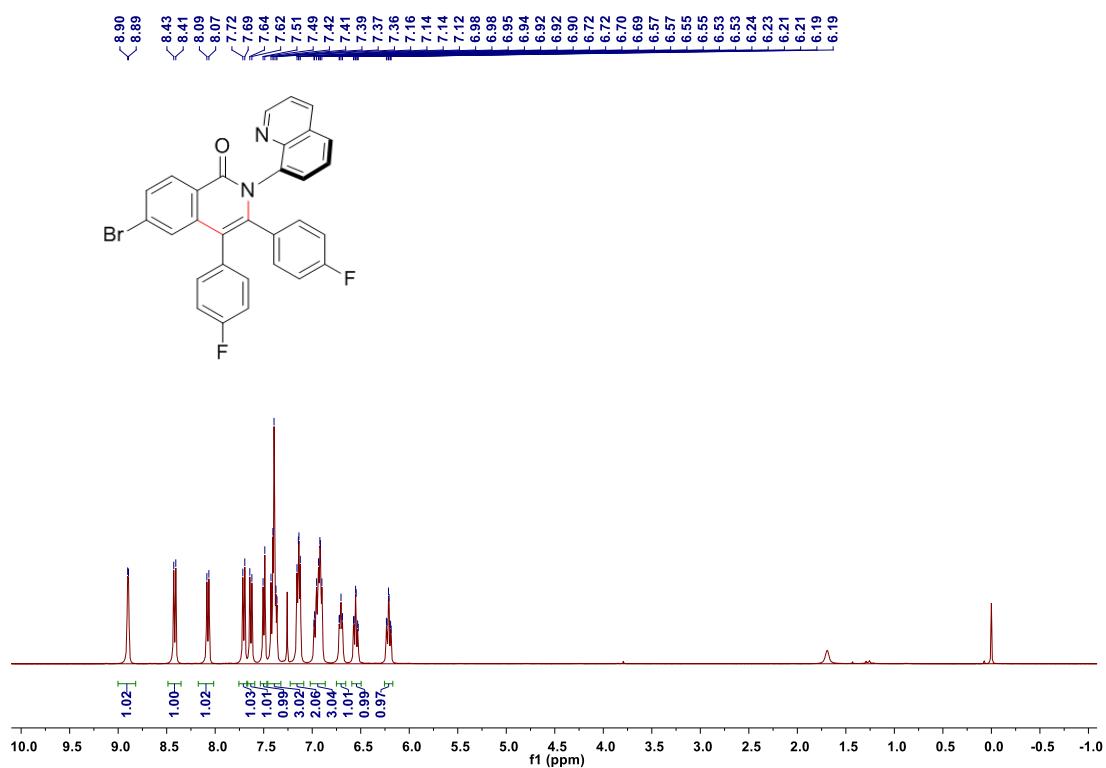
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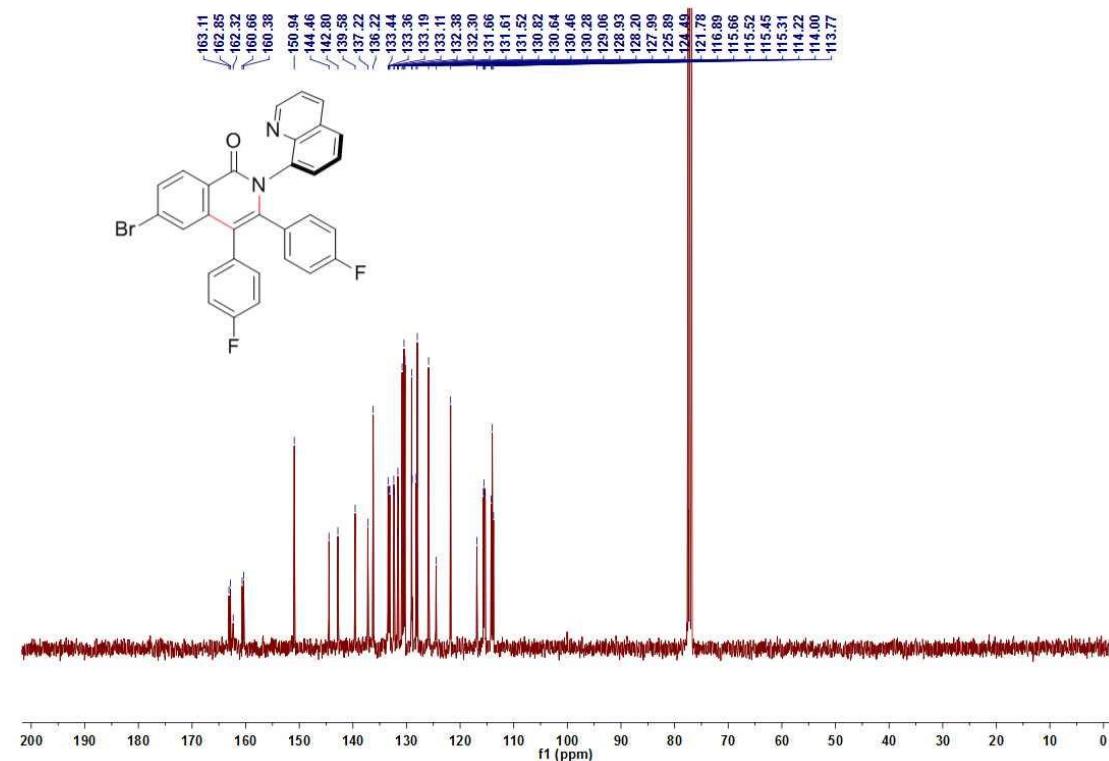
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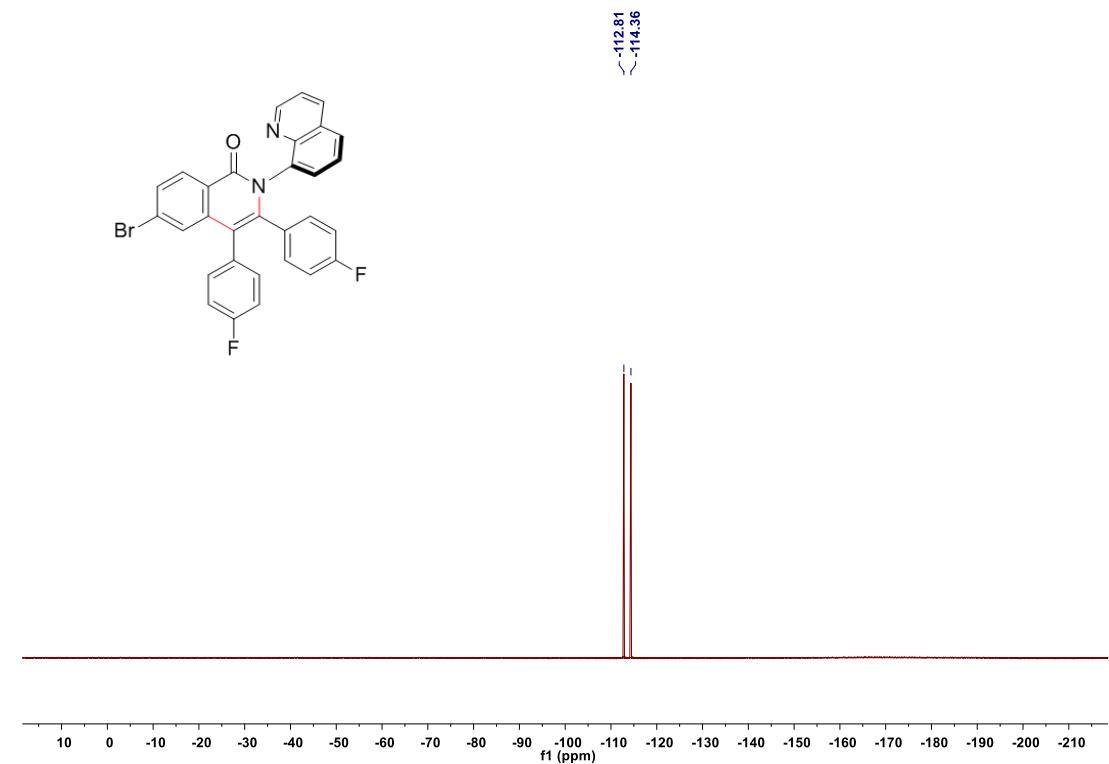
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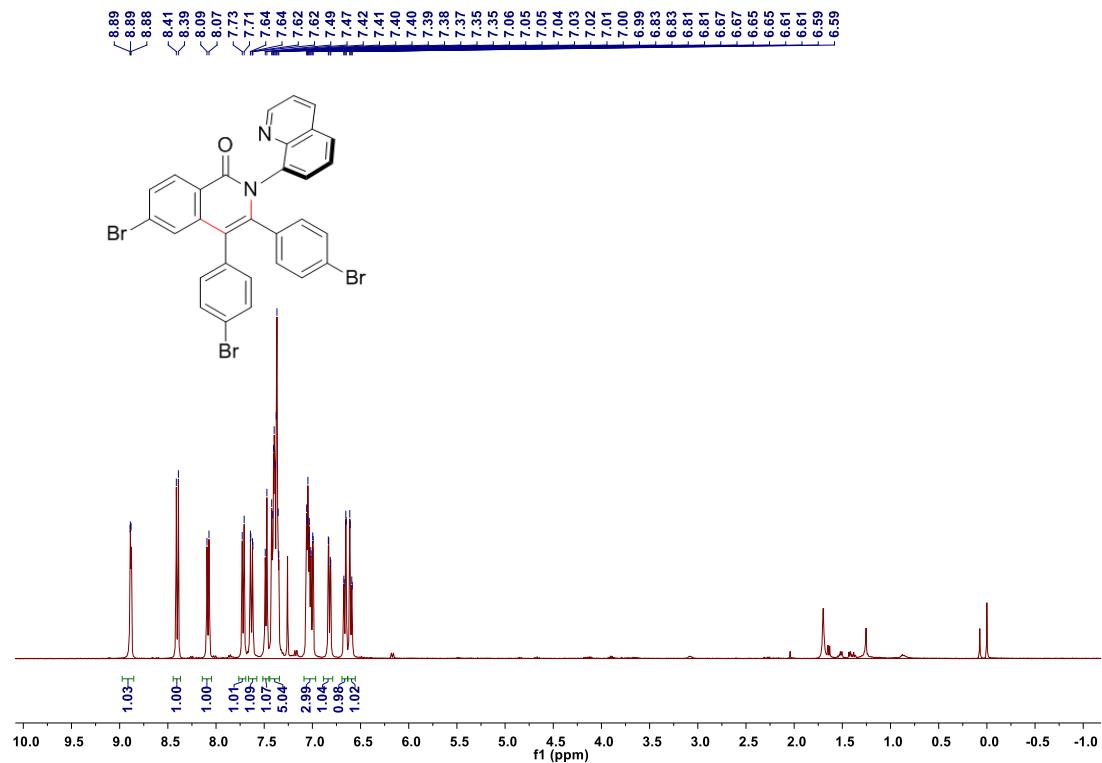
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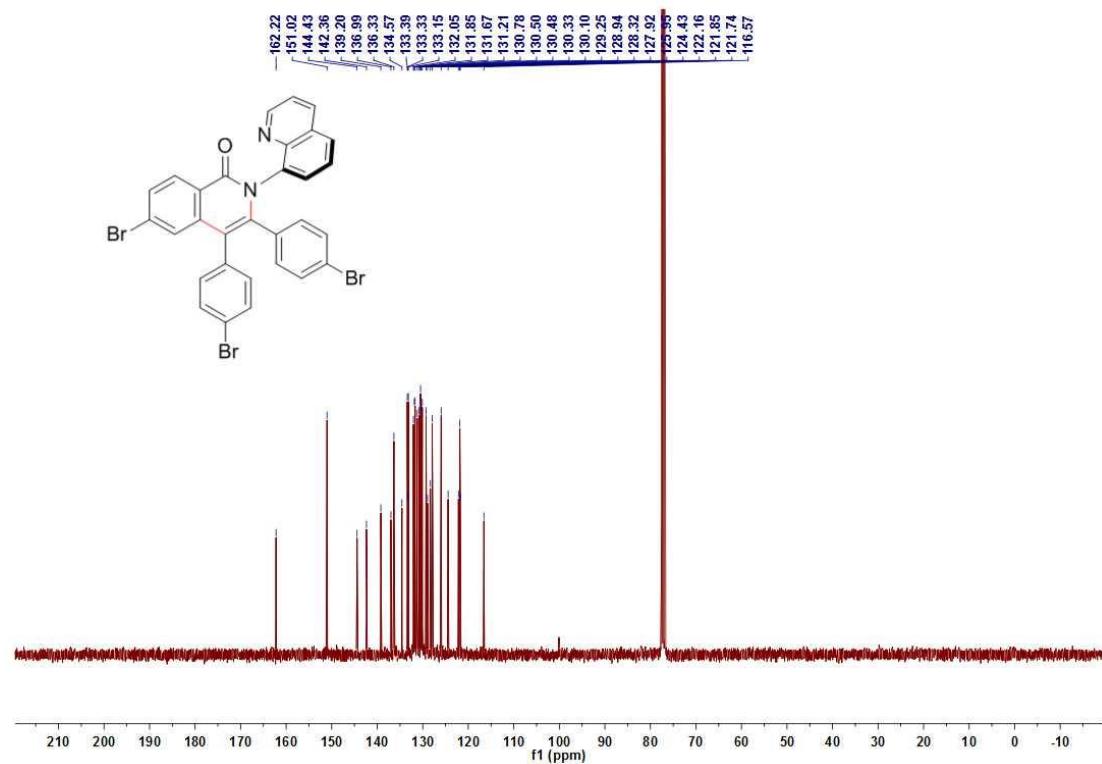
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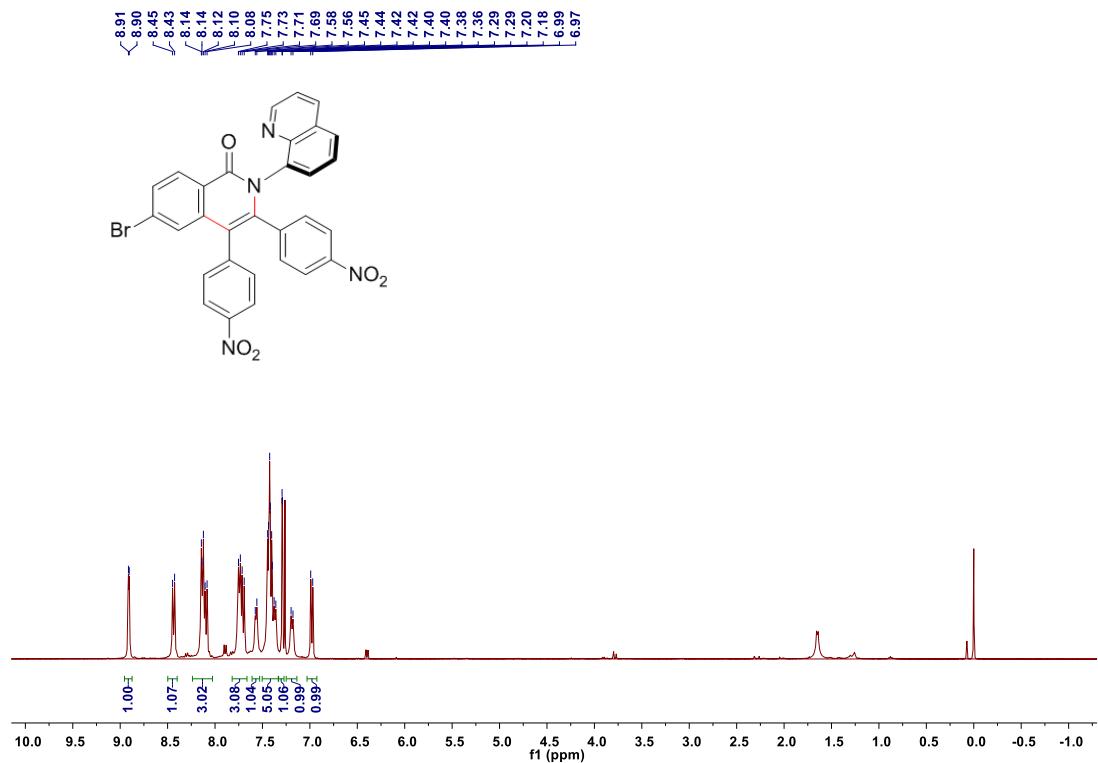
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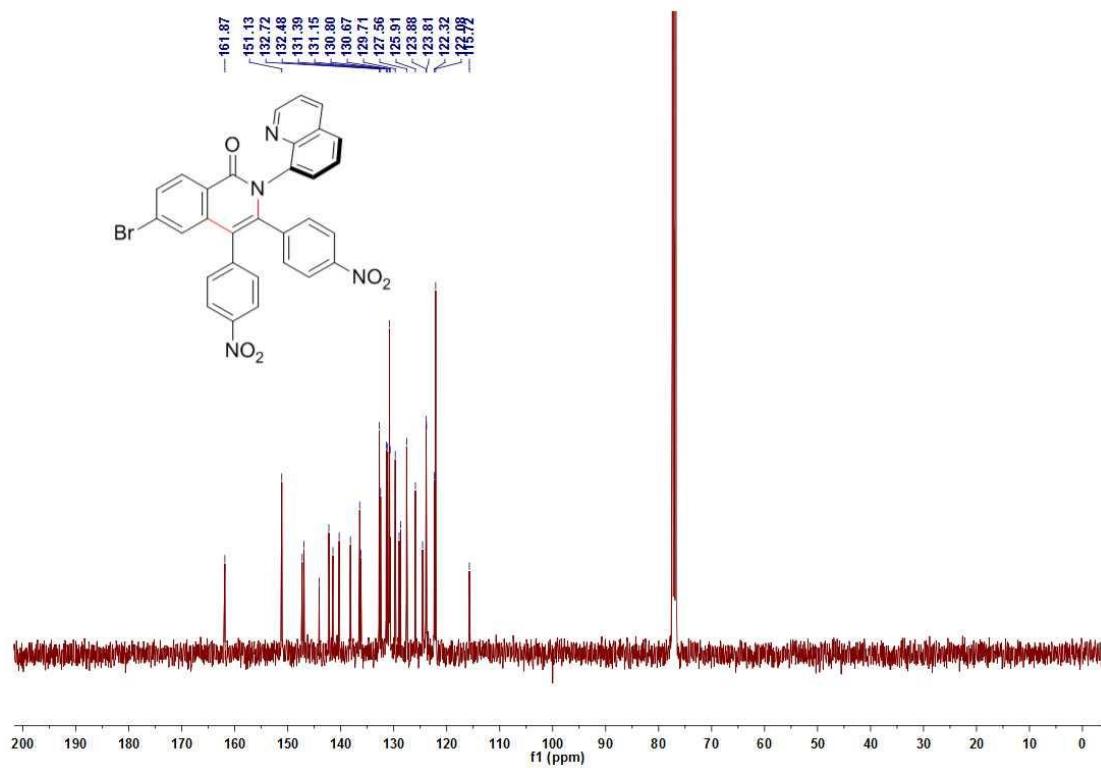
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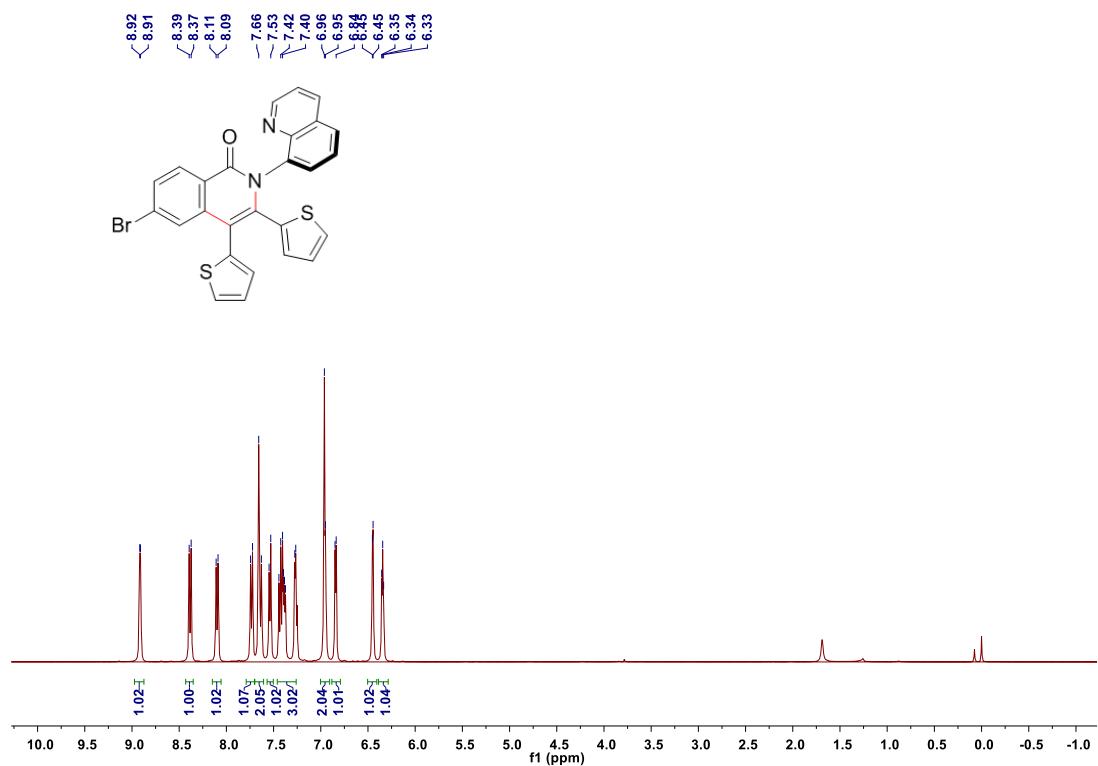
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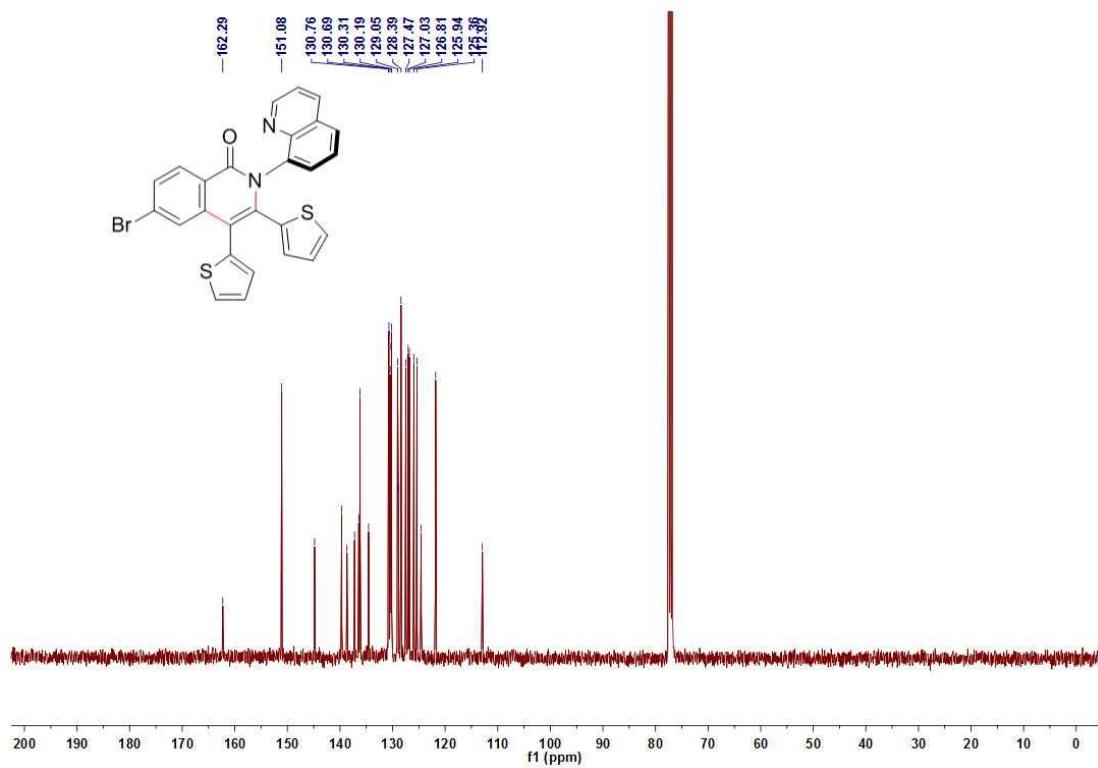
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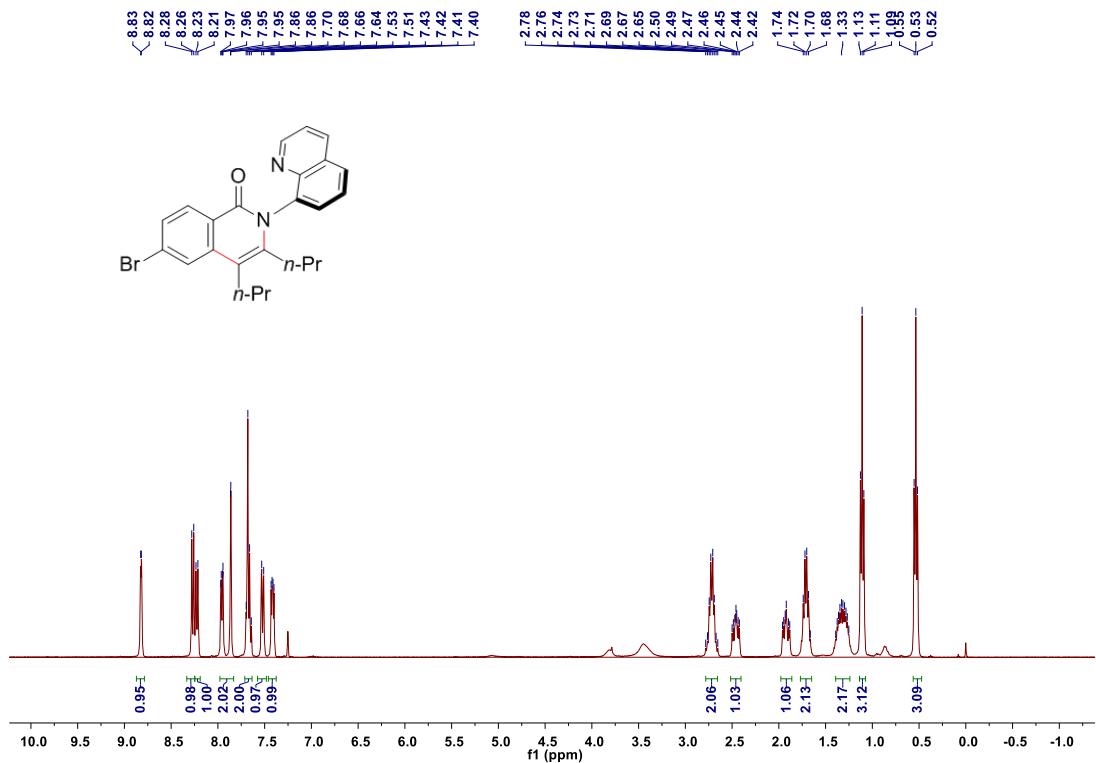
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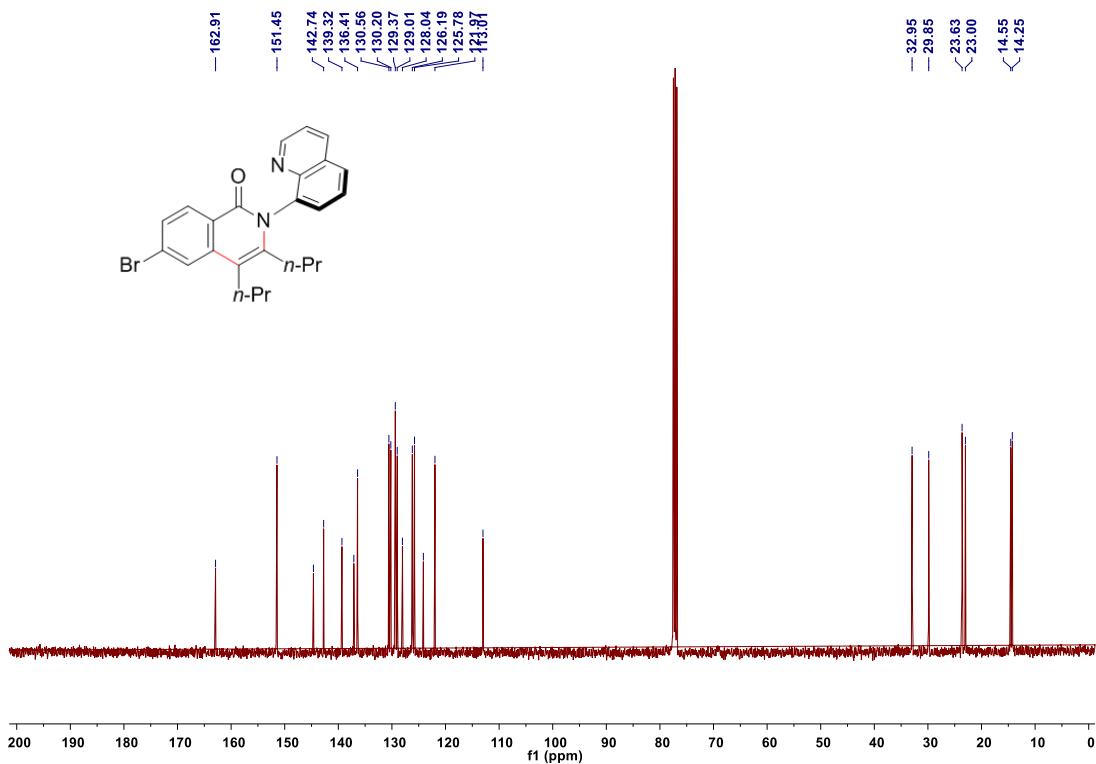
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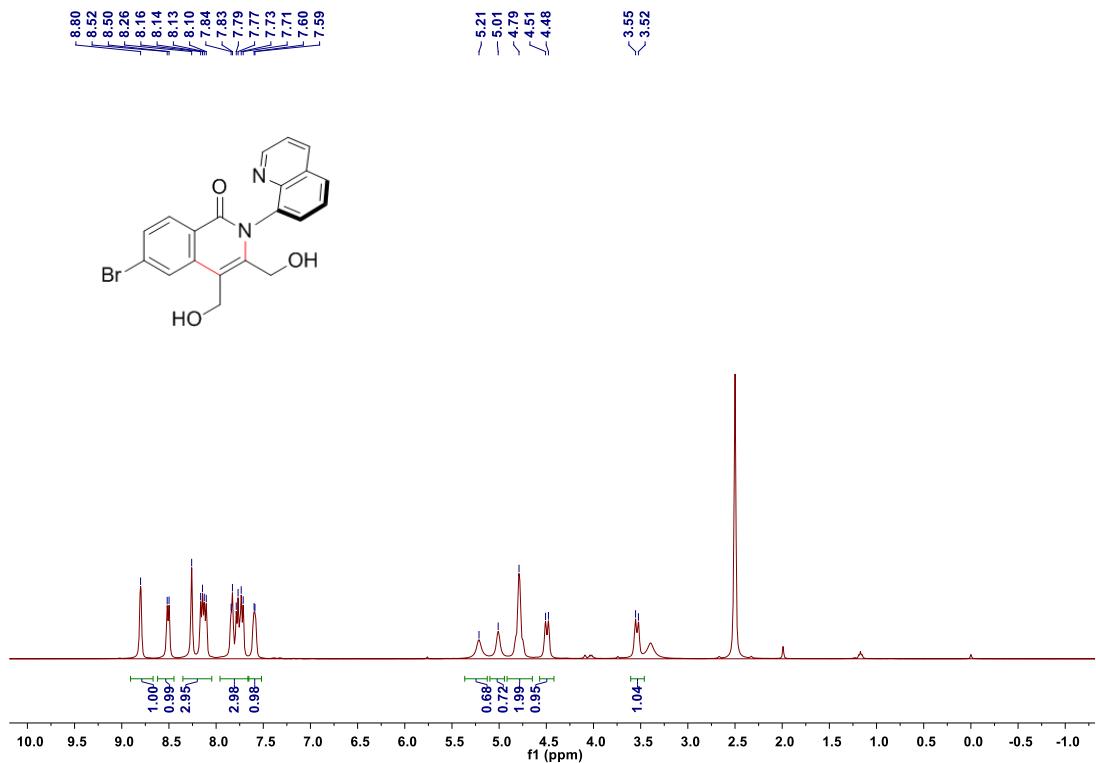
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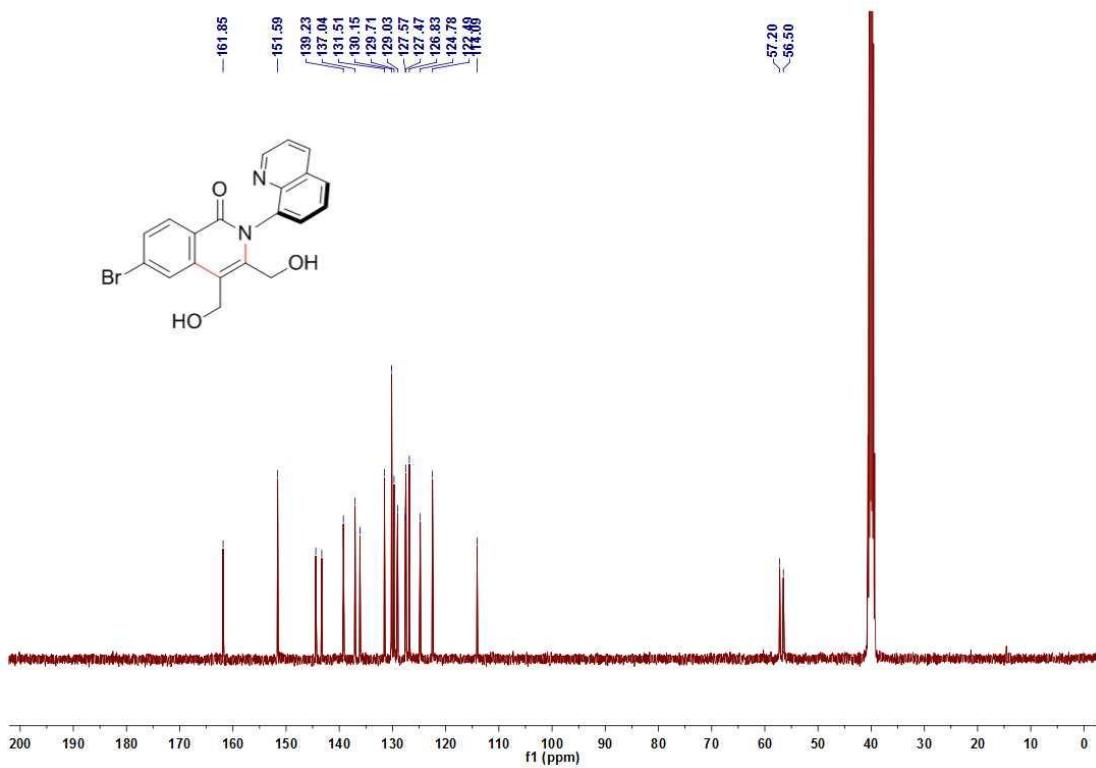
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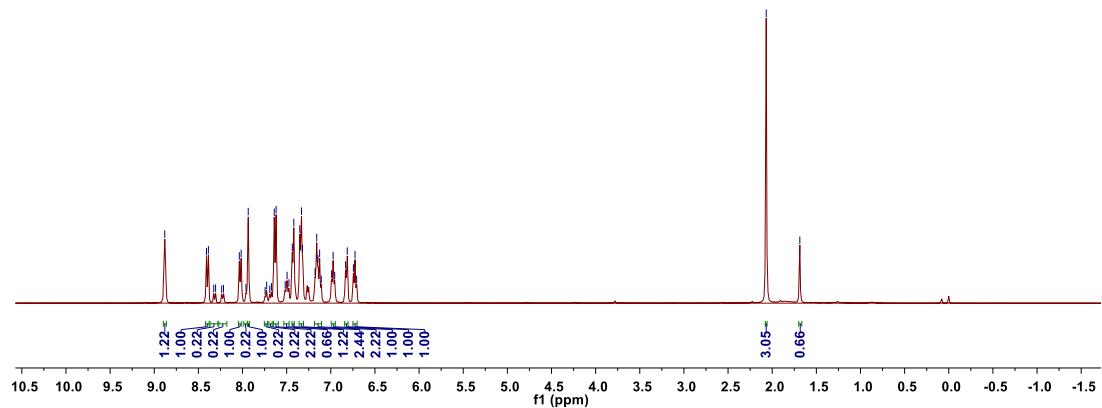
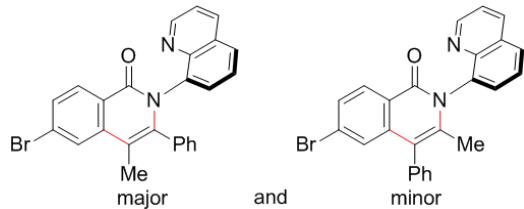
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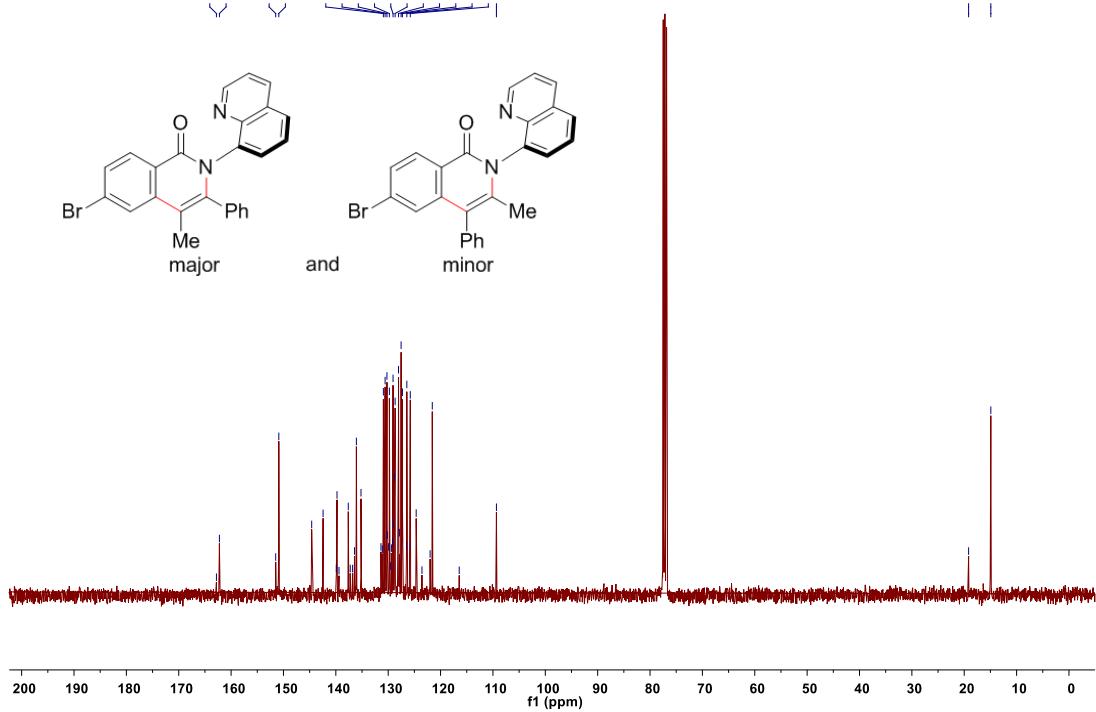
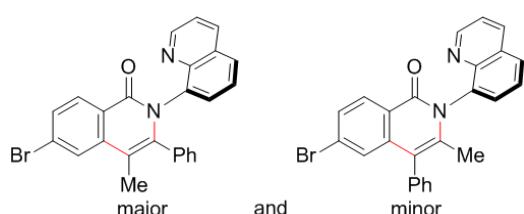
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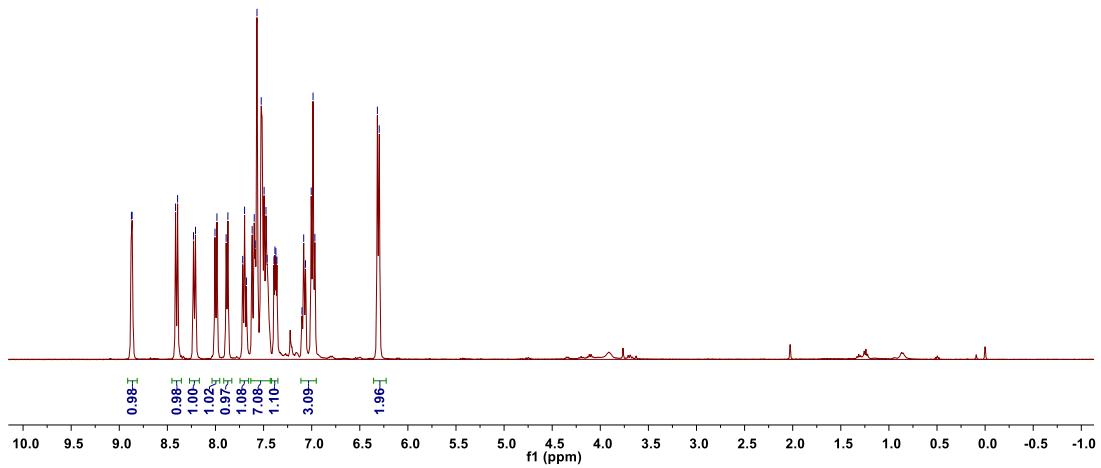
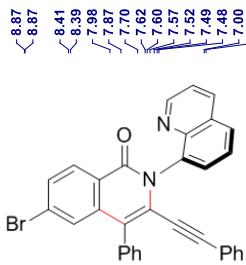
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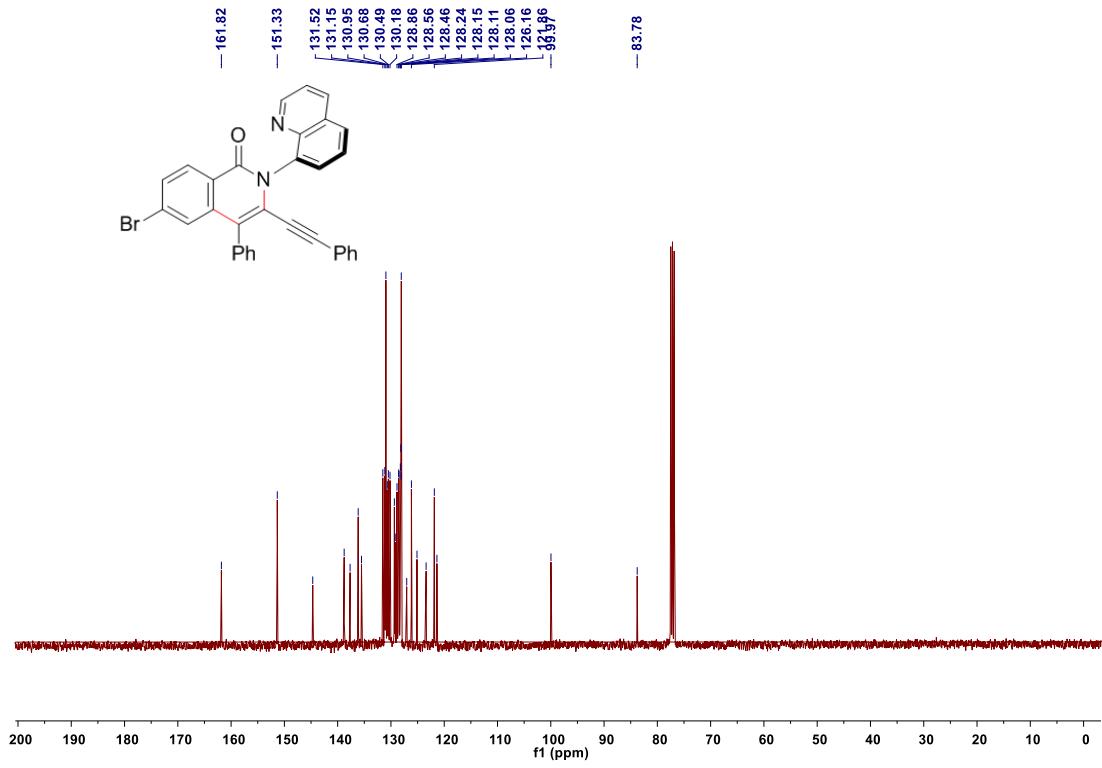
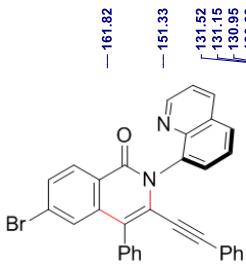
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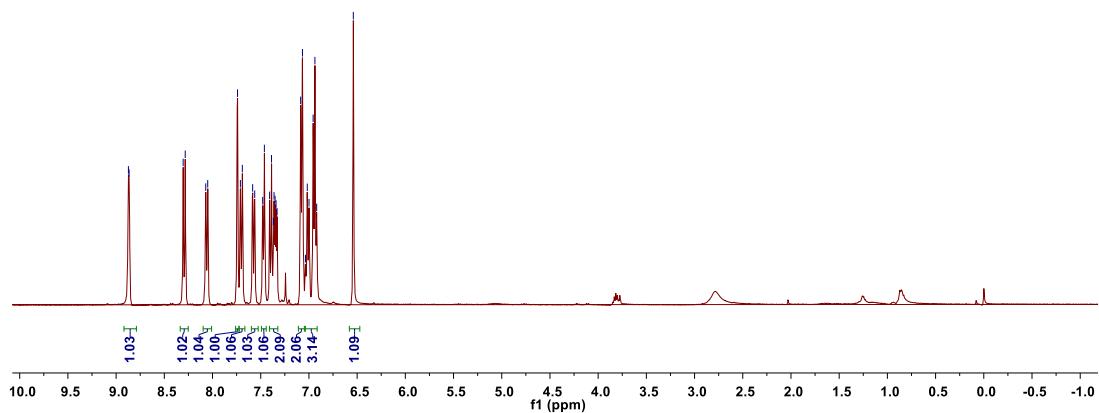
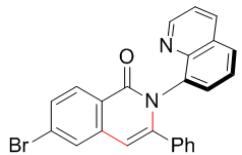
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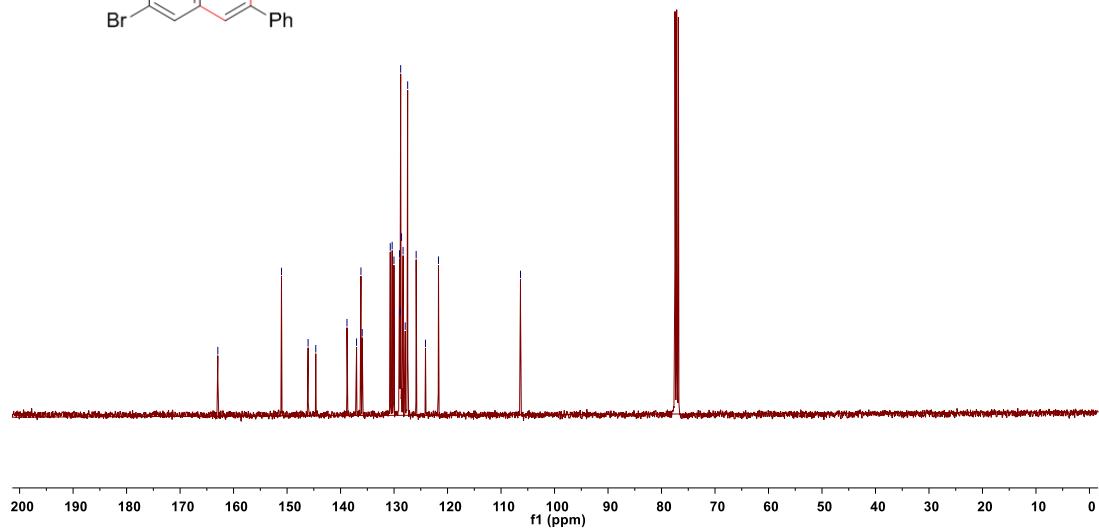
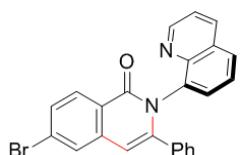
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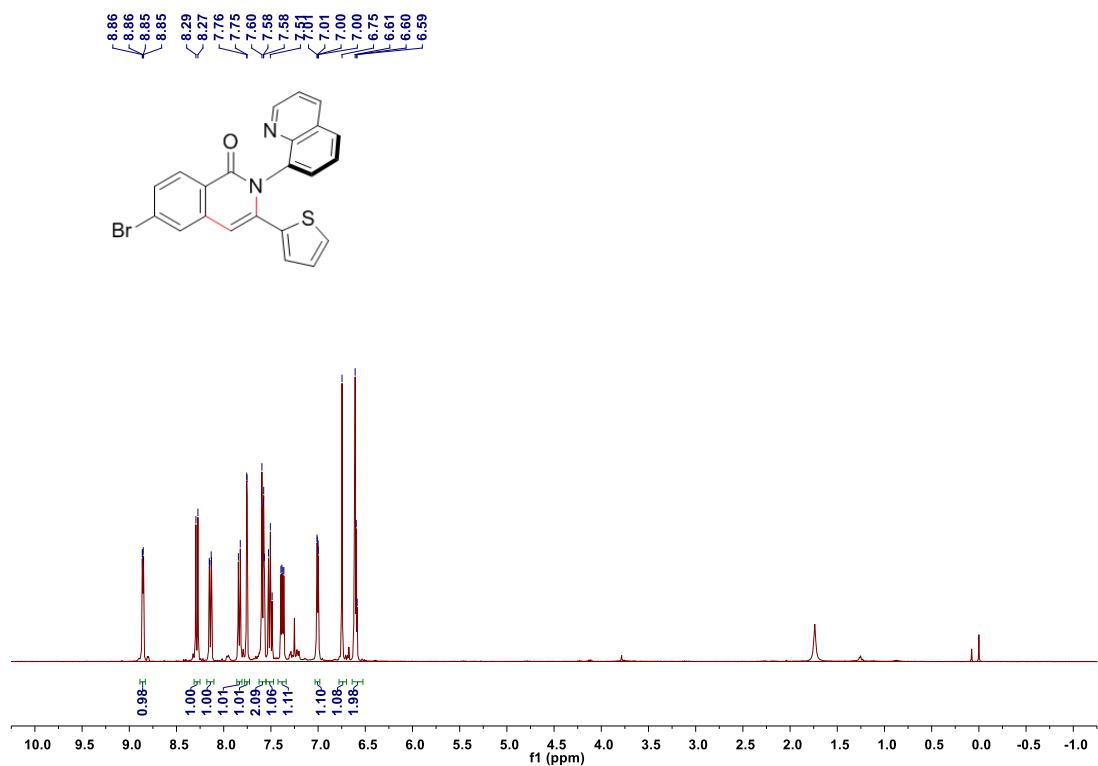
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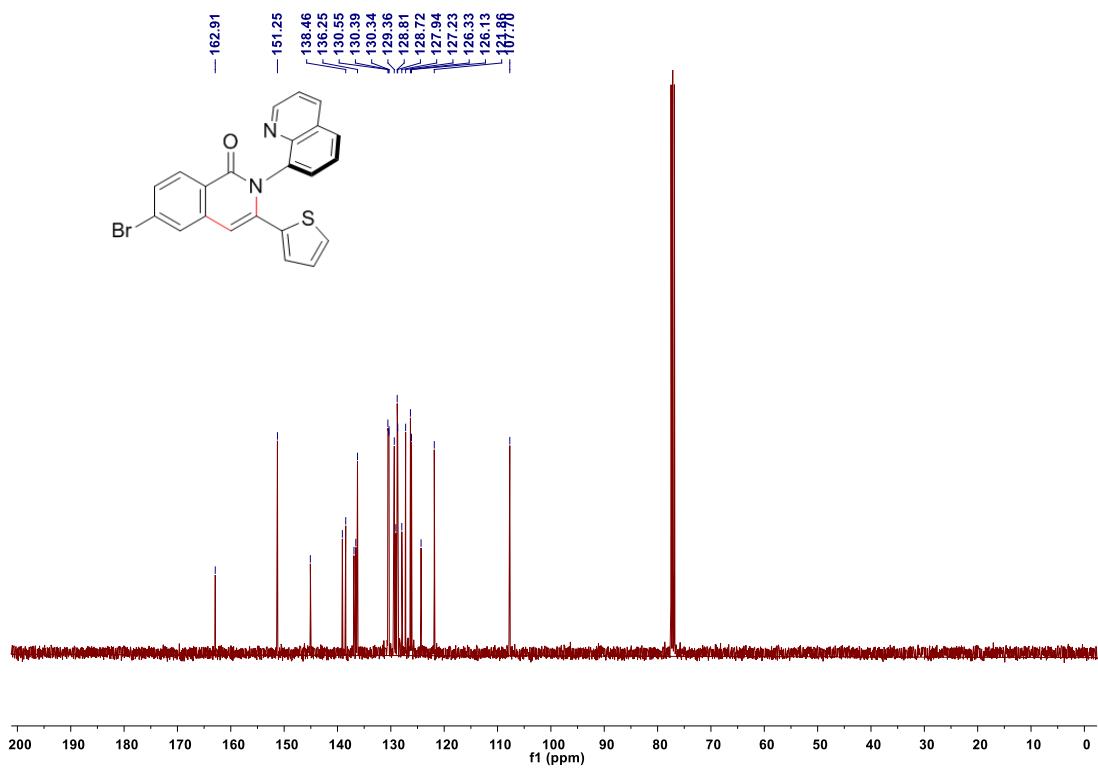
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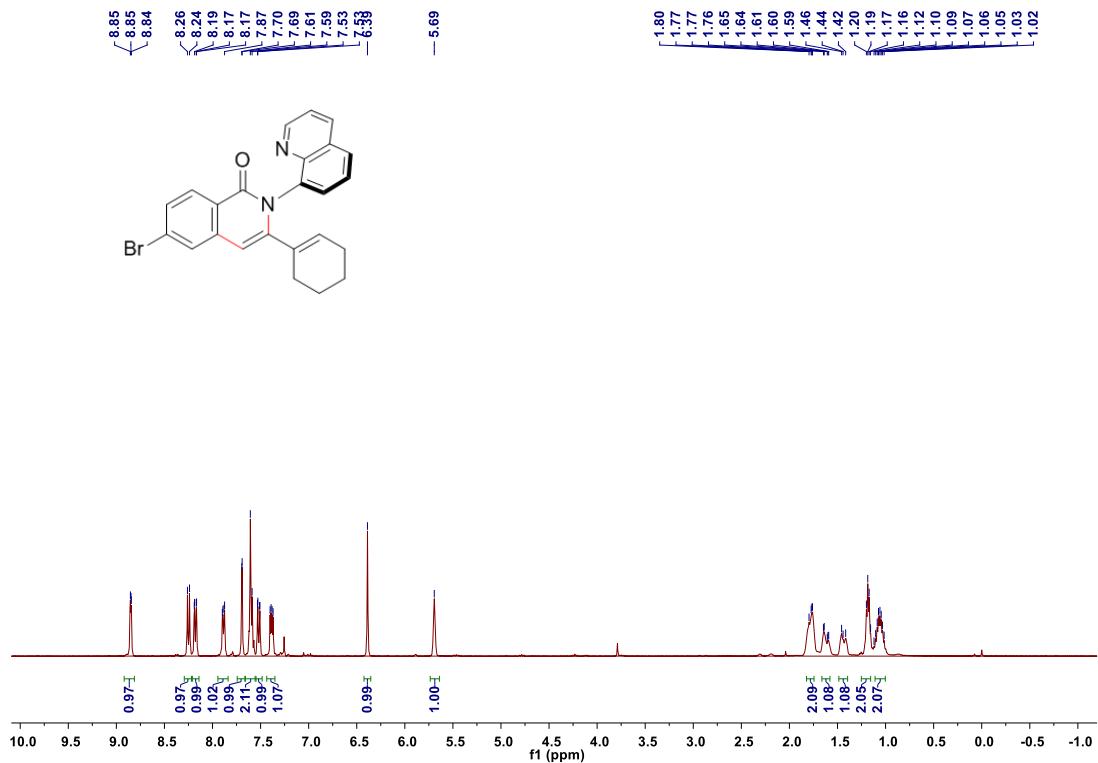
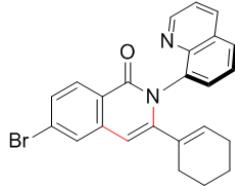
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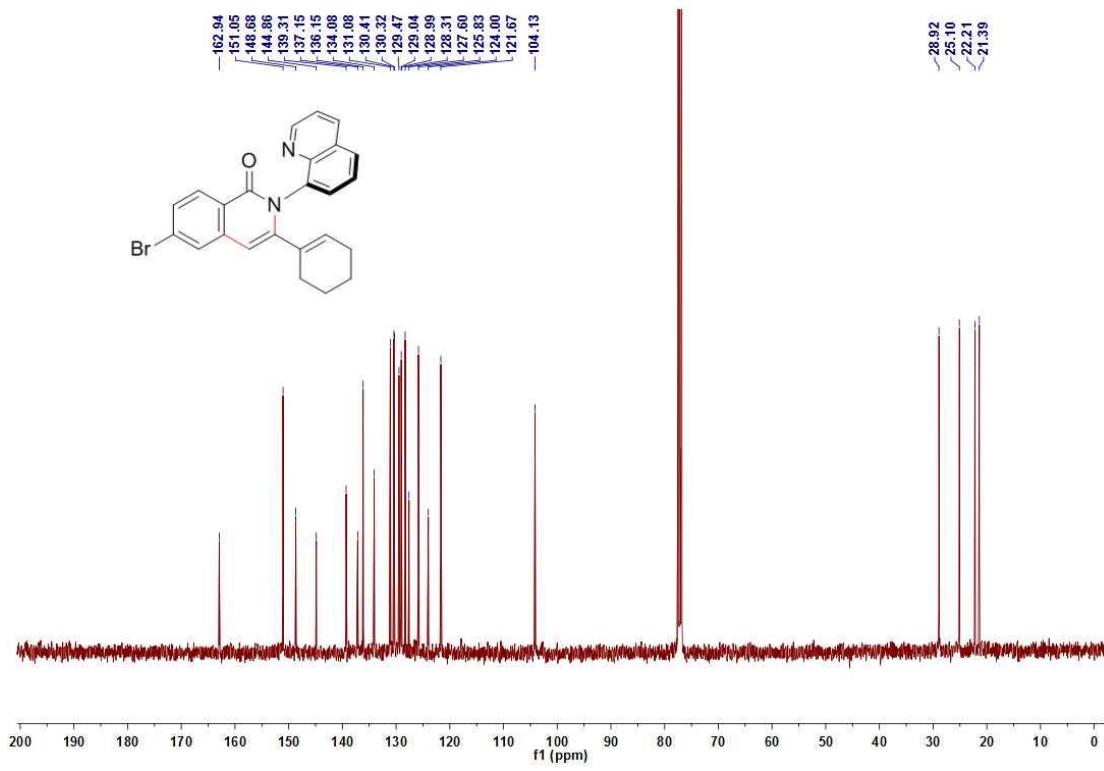
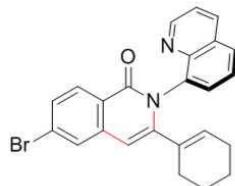
¹³C NMR Spectrum of **3an**



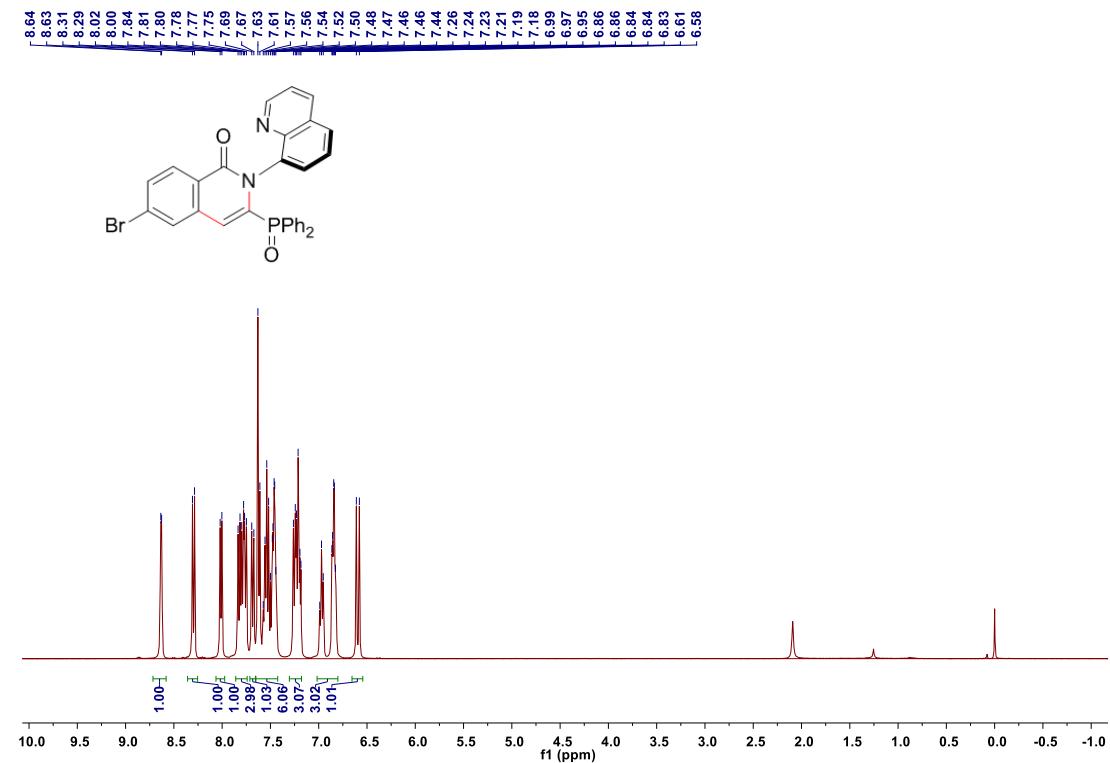
¹H NMR Spectrum of 3ao



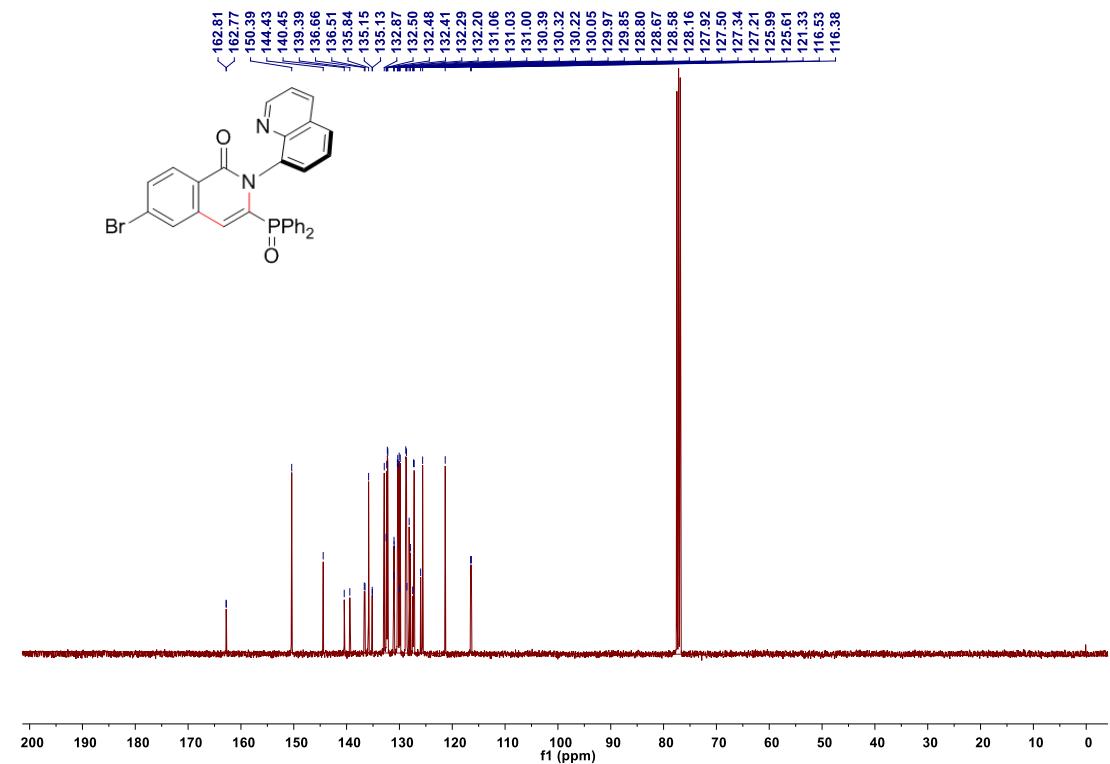
¹³C NMR Spectrum of **3ao**



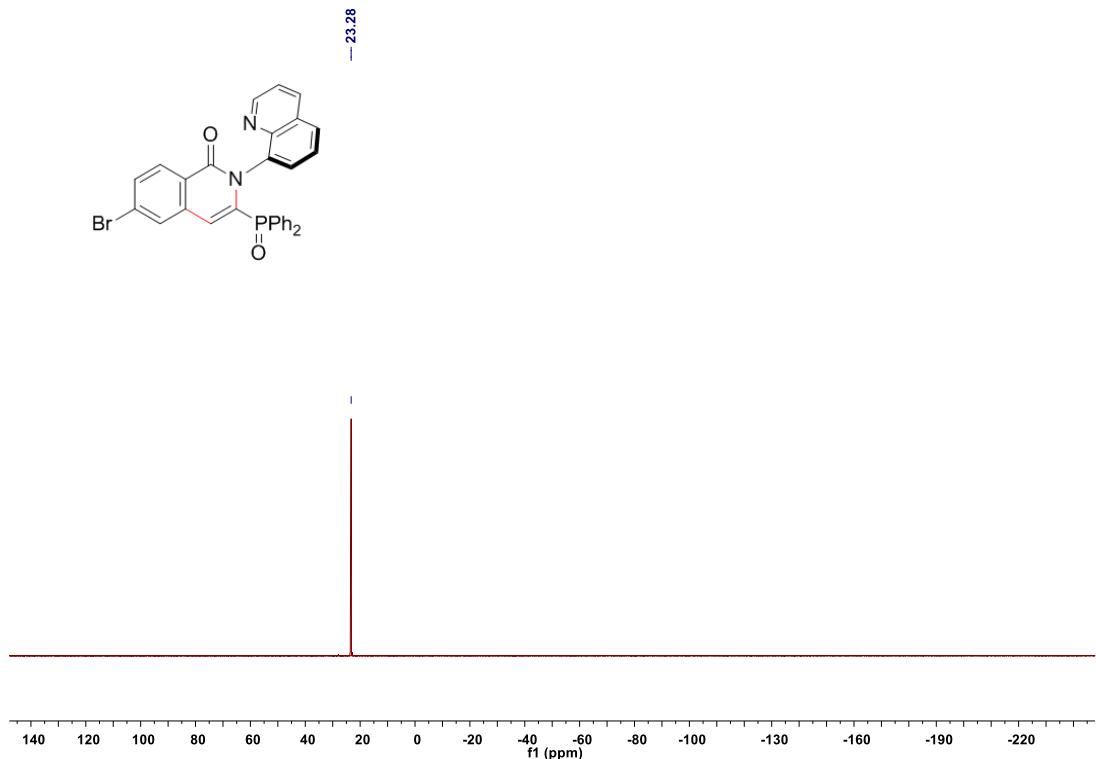
¹H NMR Spectrum of **3ap-major**



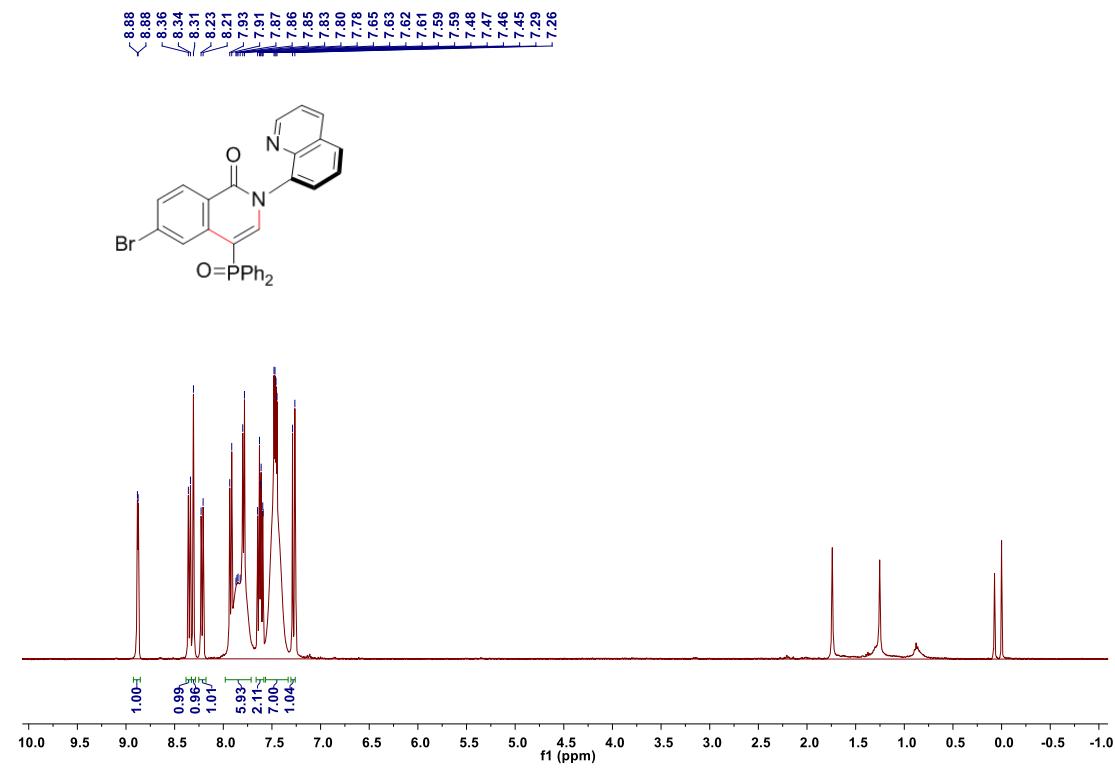
¹³C NMR Spectrum of **3ap-major**



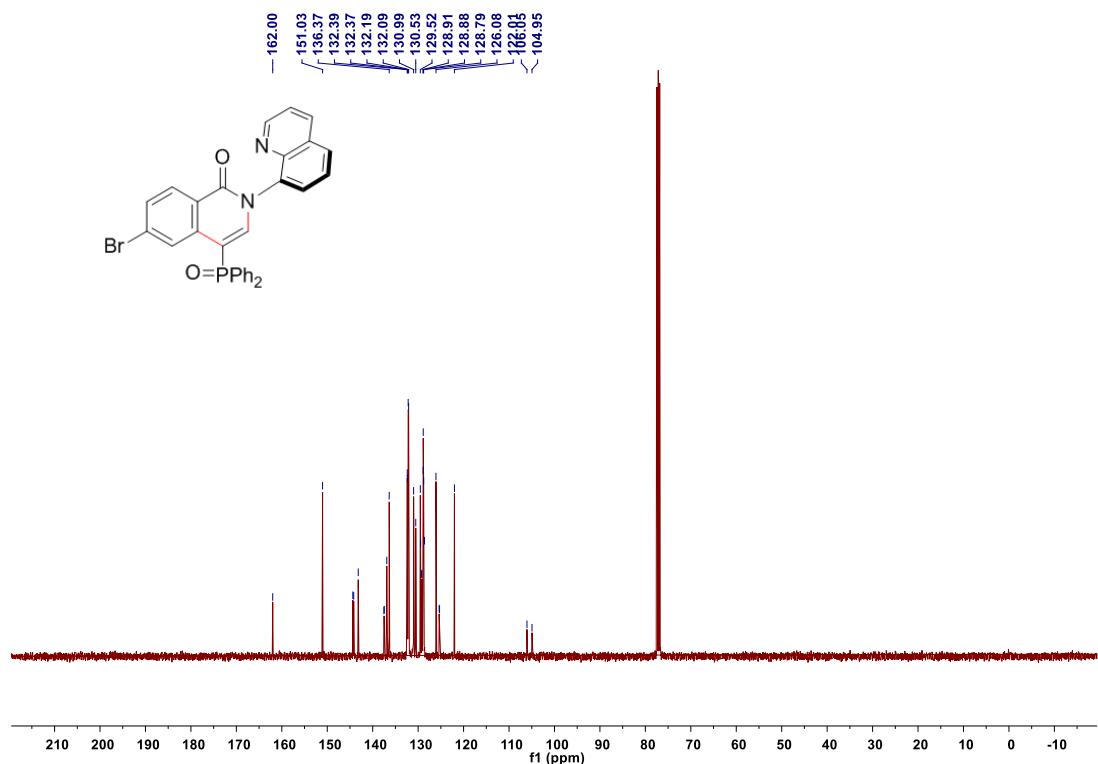
³¹PNMR Spectrum of **3ap-major**



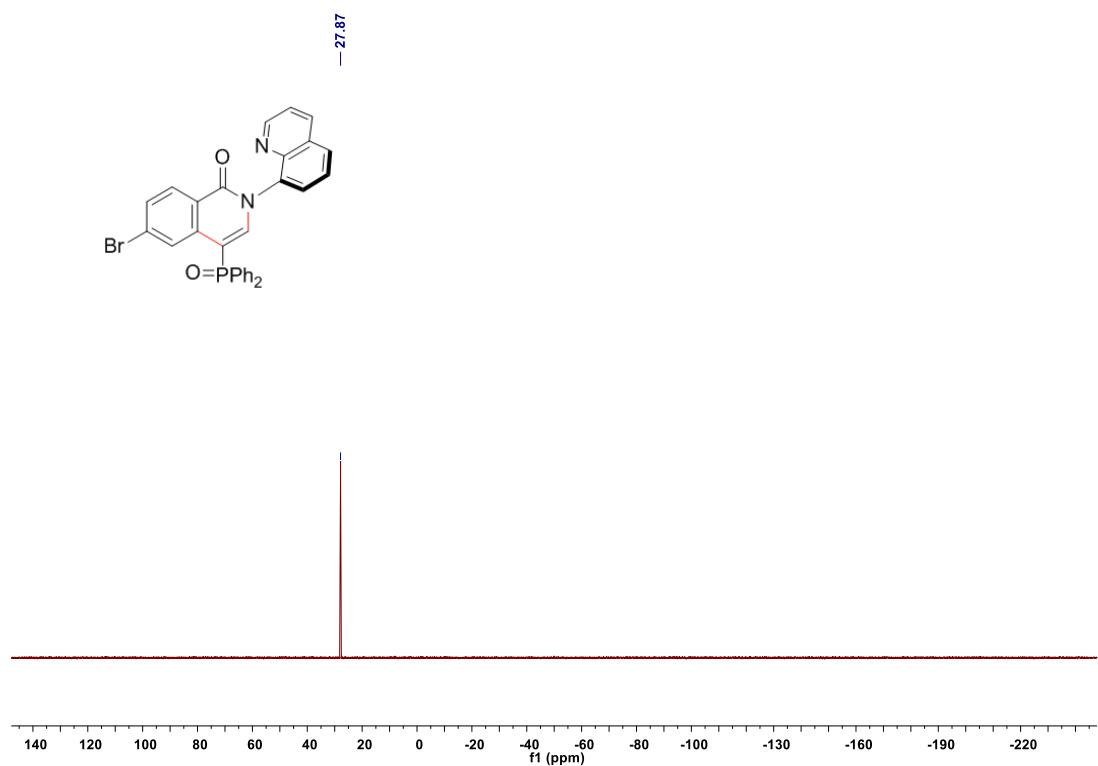
¹H NMR Spectrum of **3ap-minor**



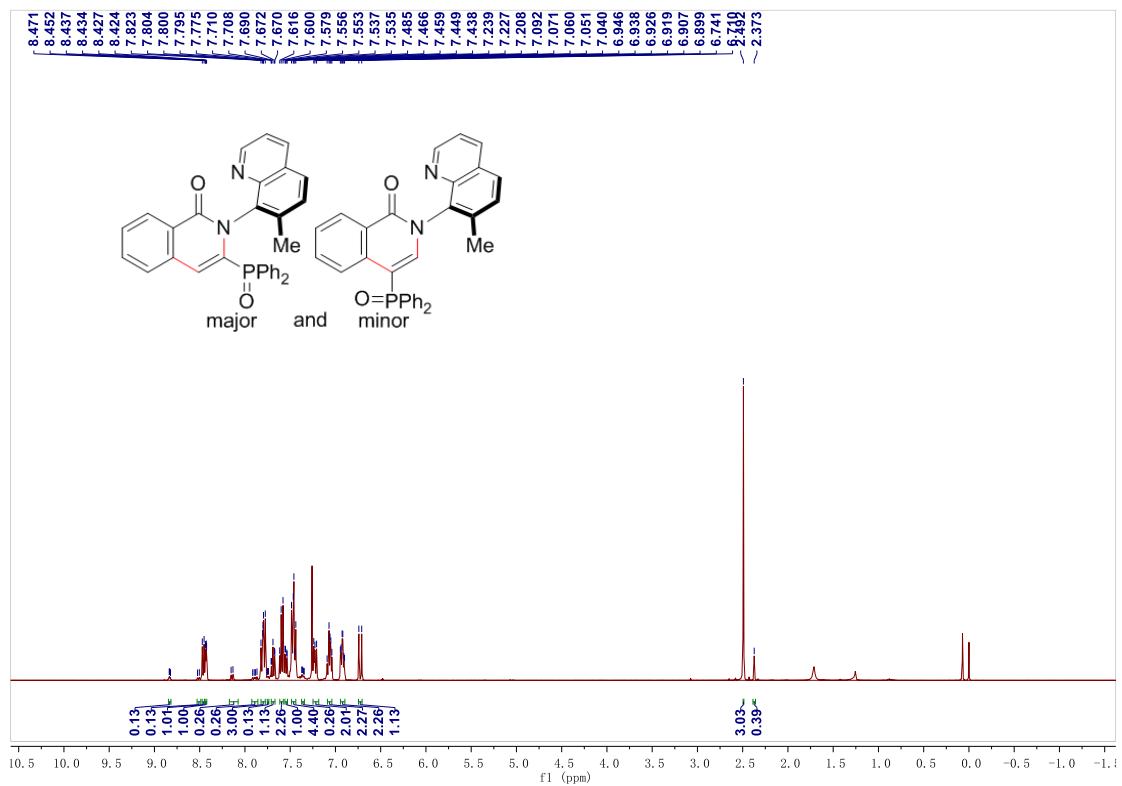
¹³C NMR Spectrum of **3ap-minor**



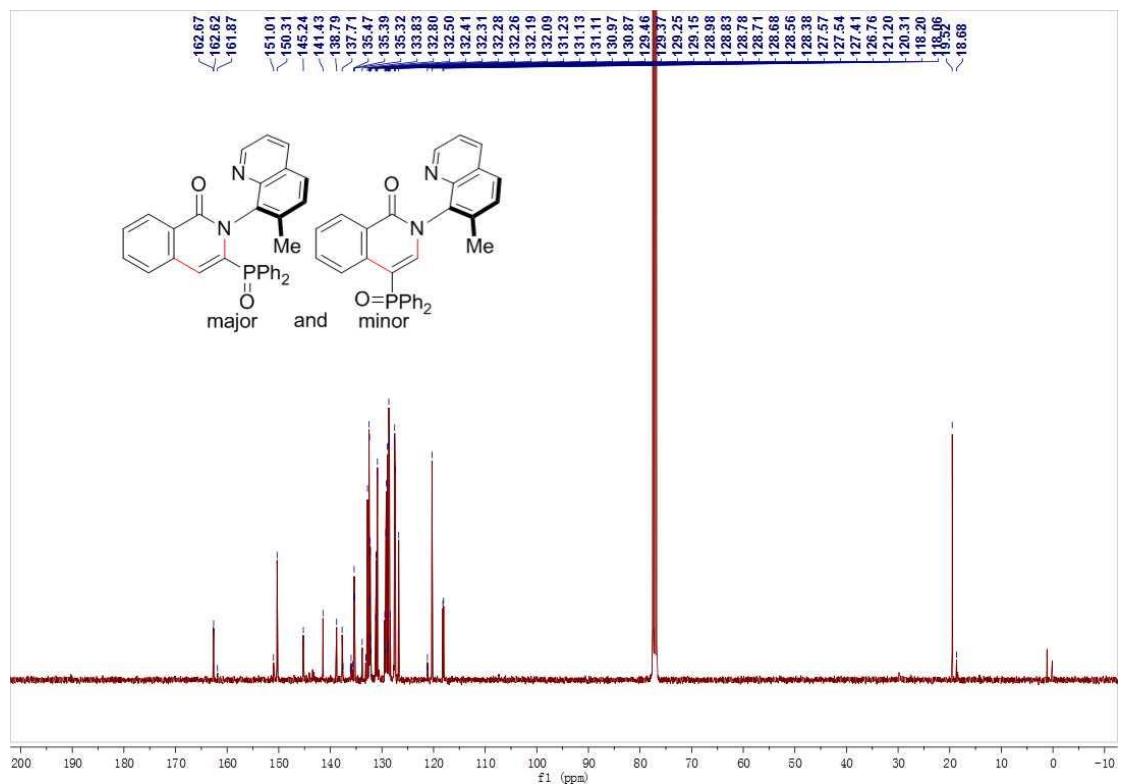
³¹PNMR Spectrum of **3ap-minor**



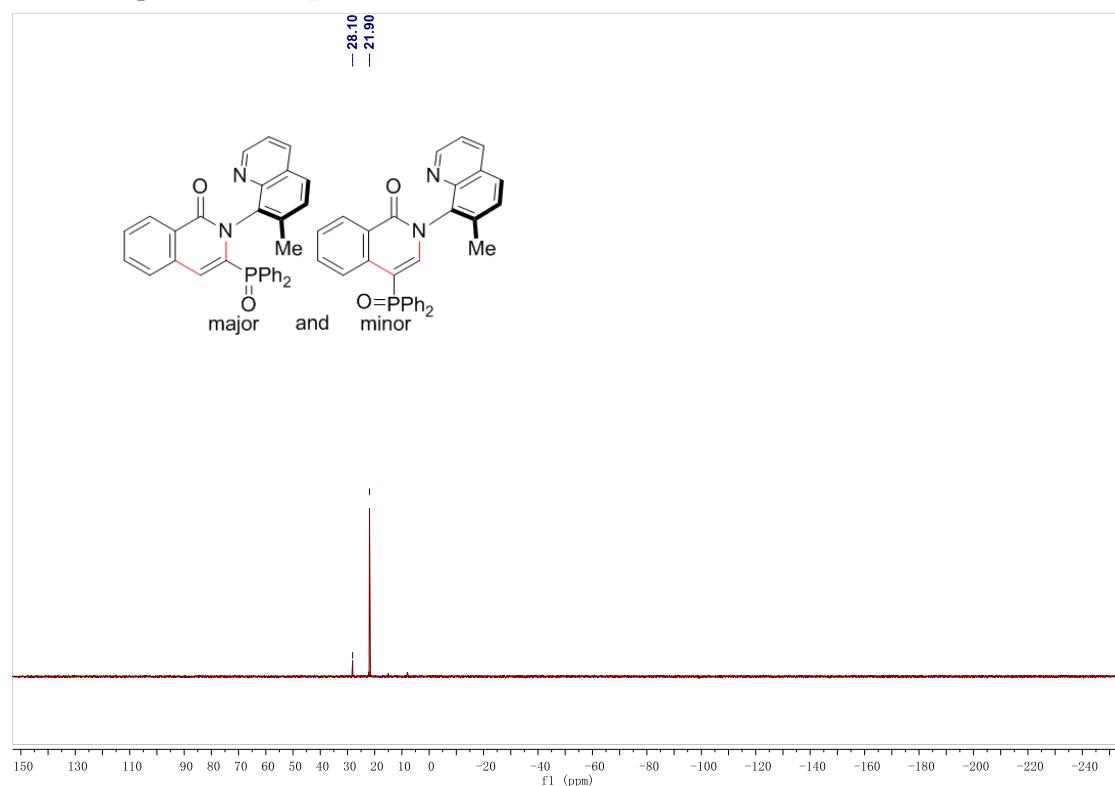
¹H NMR Spectrum of **3aq**



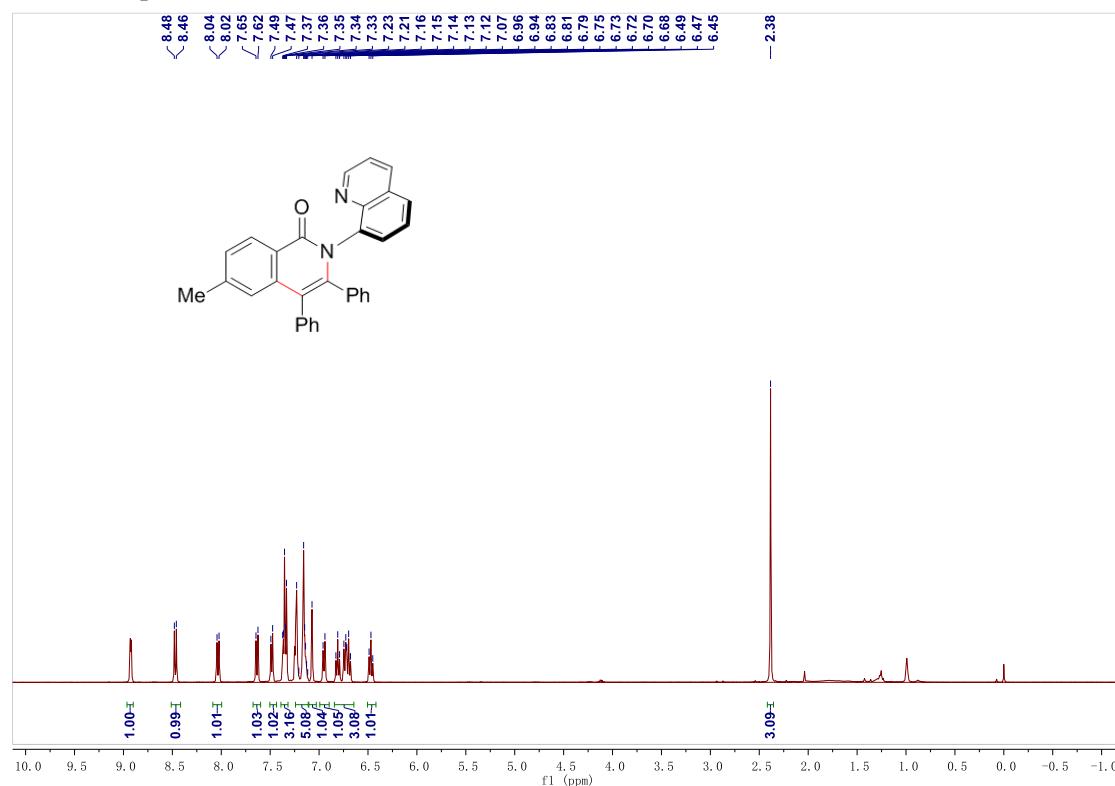
¹³C NMR Spectrum of **3aq**



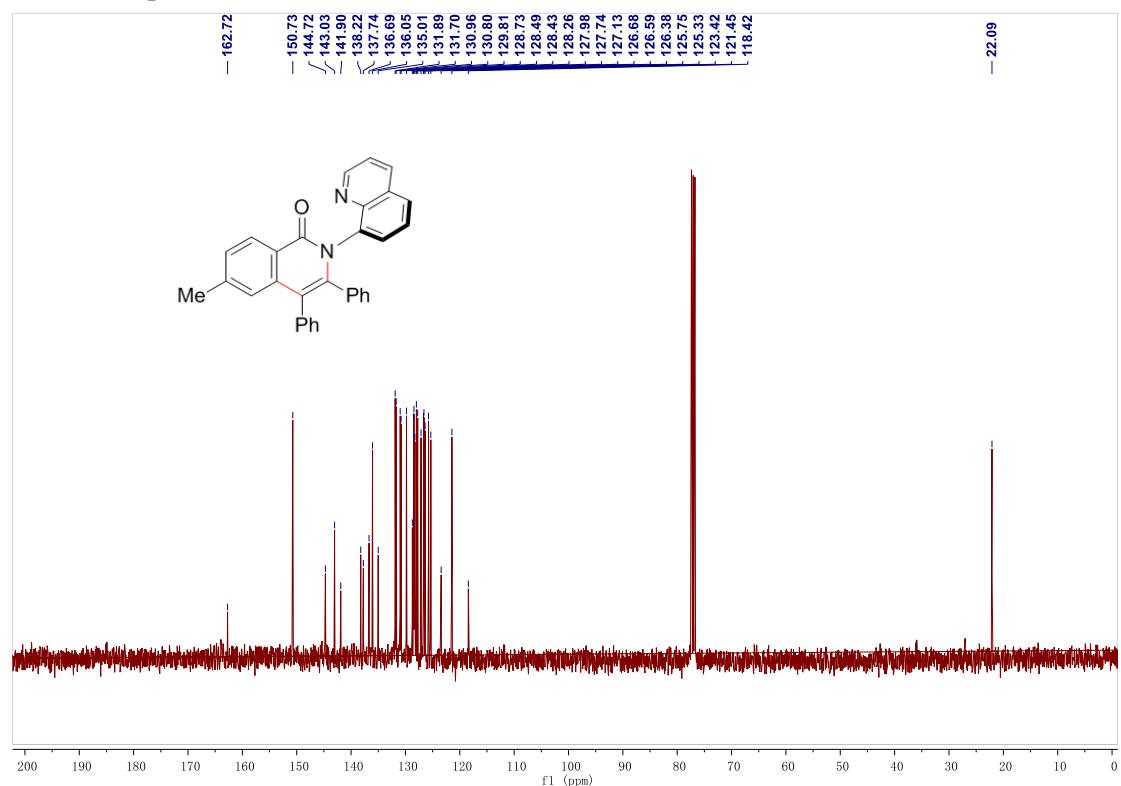
³¹P NMR Spectrum of **3aq**



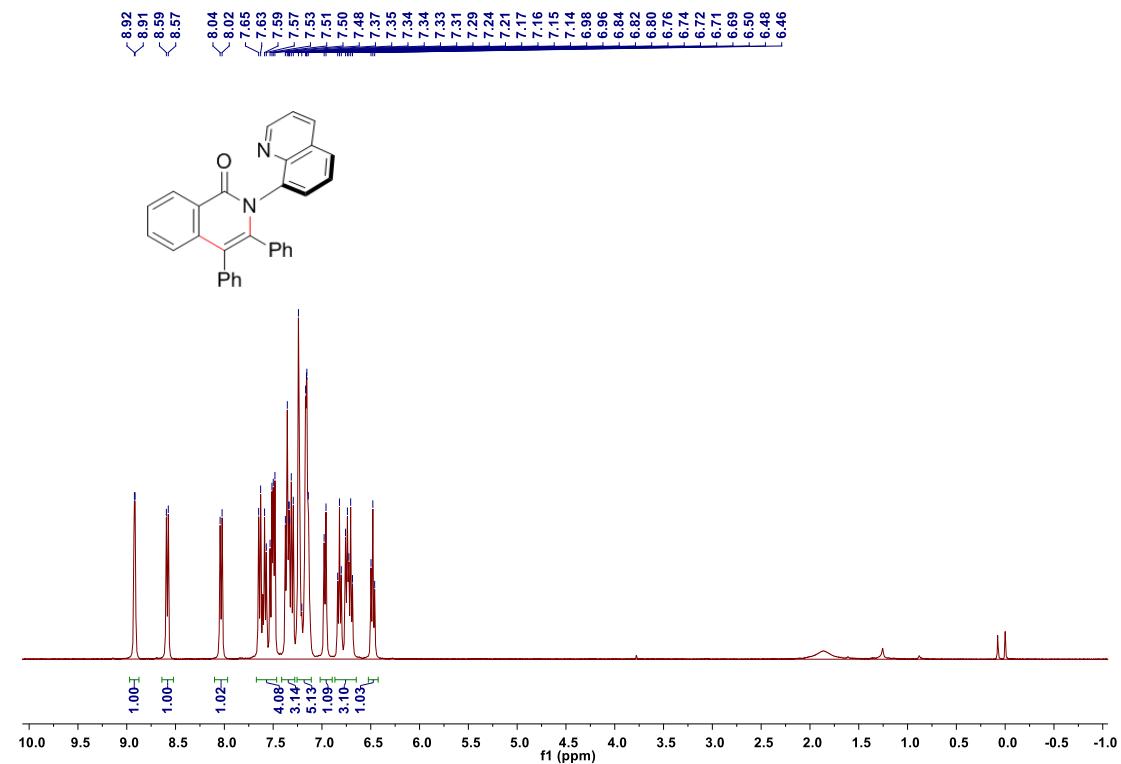
¹H NMR Spectrum of **3aa**



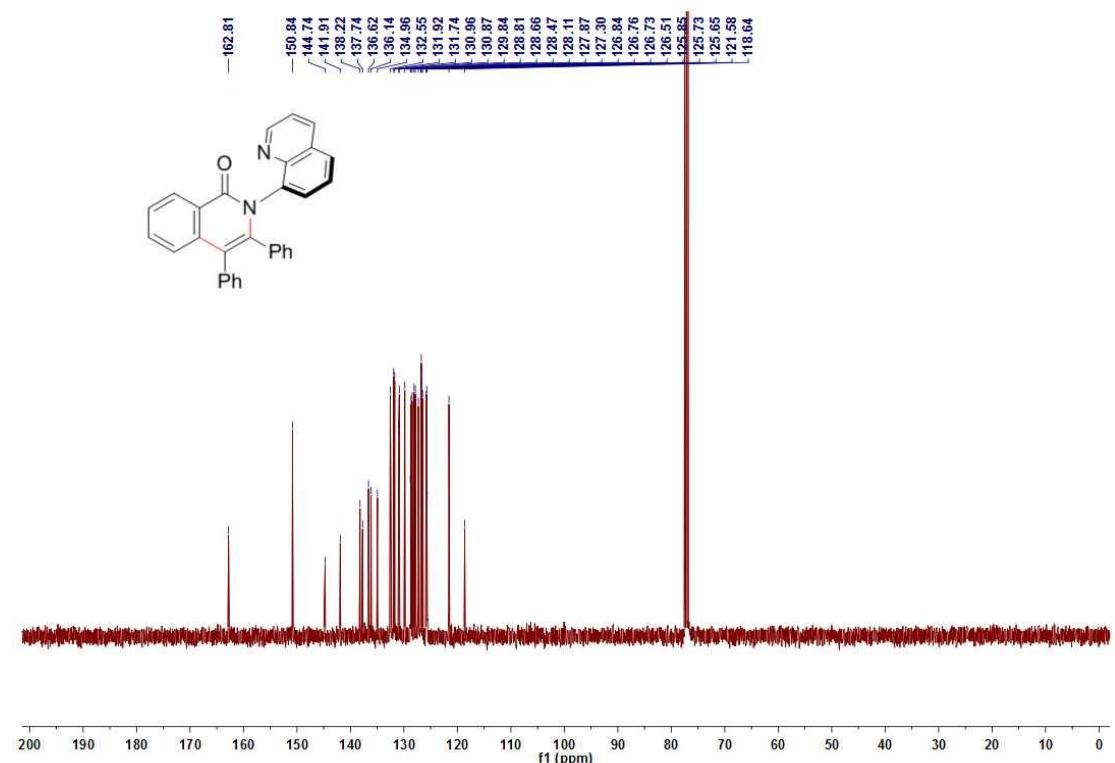
¹³C NMR Spectrum of **3aa**



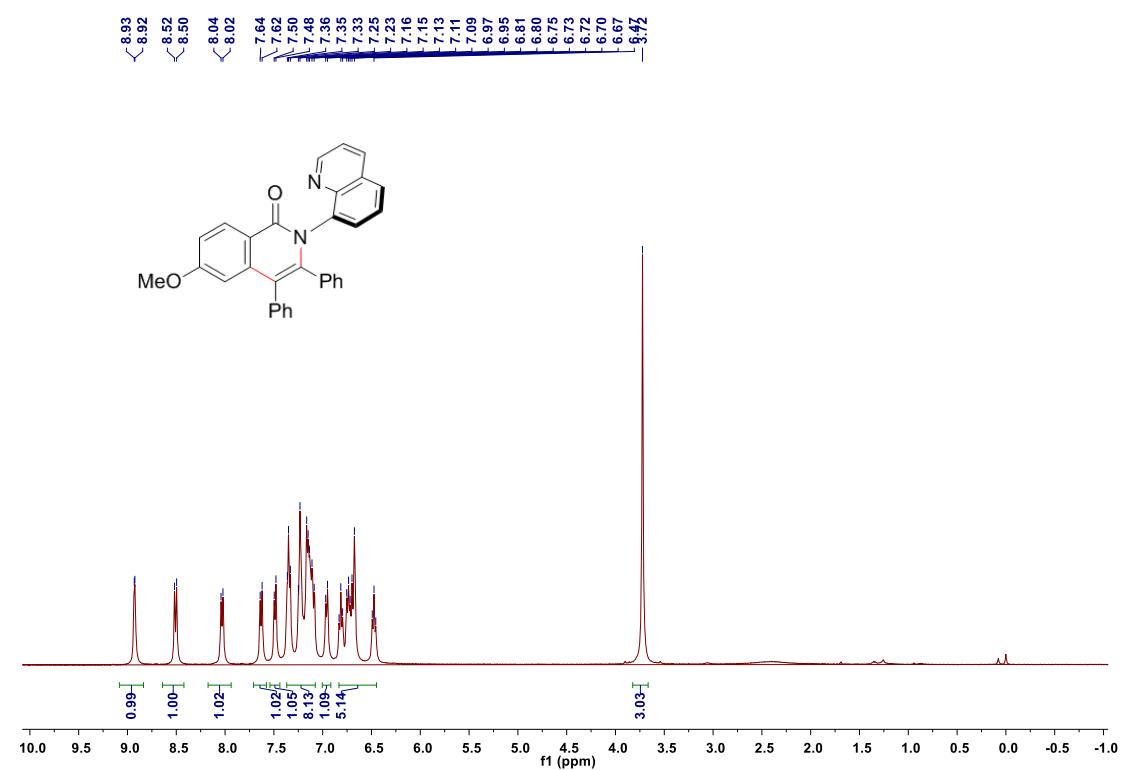
¹H NMR Spectrum of **3ba**



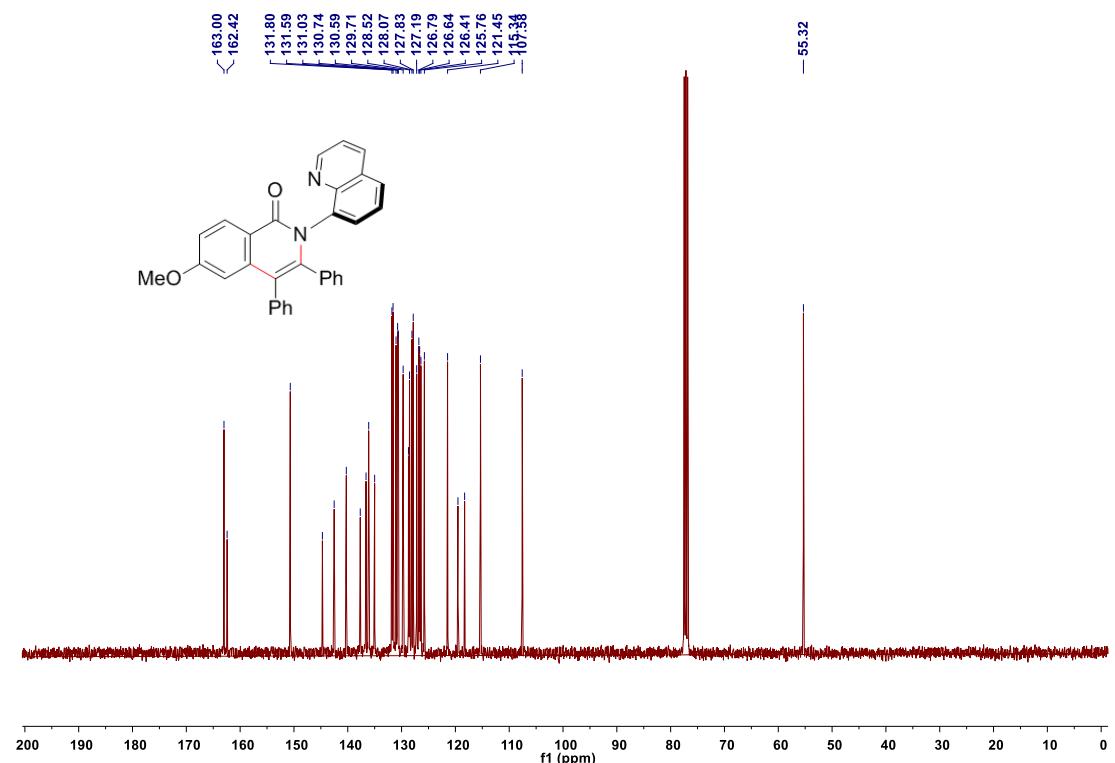
¹³C NMR Spectrum of **3ba**



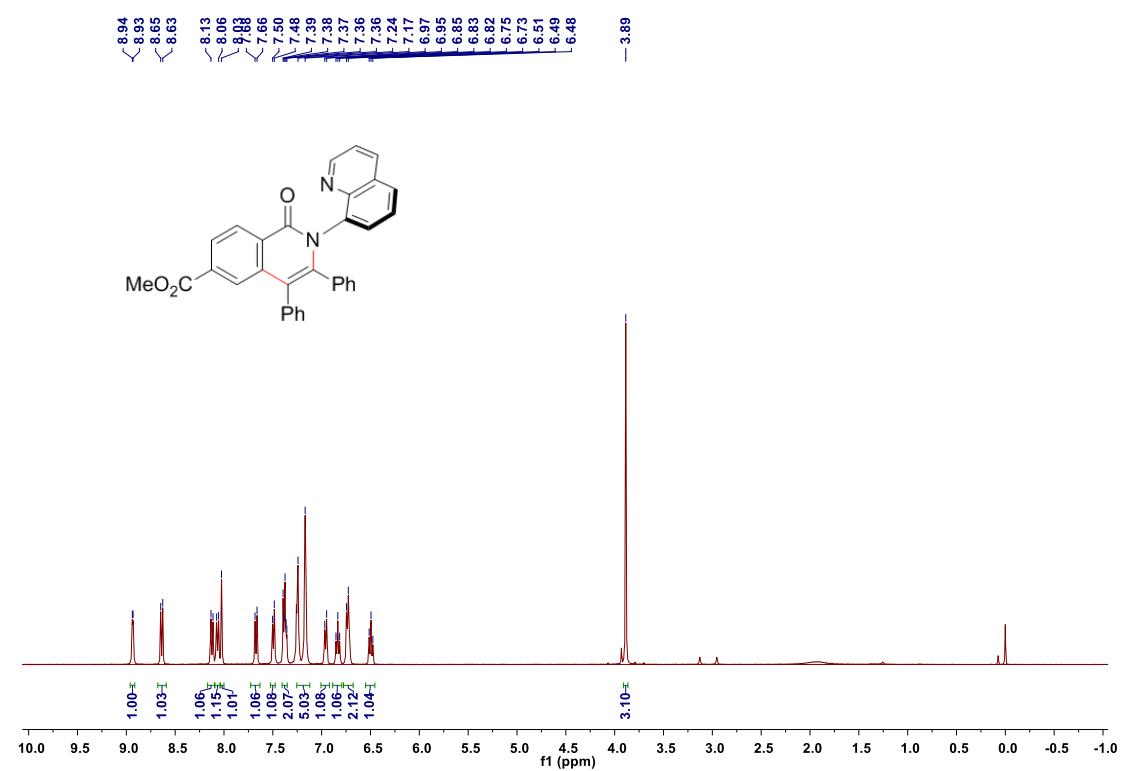
¹H NMR Spectrum of **3ca**



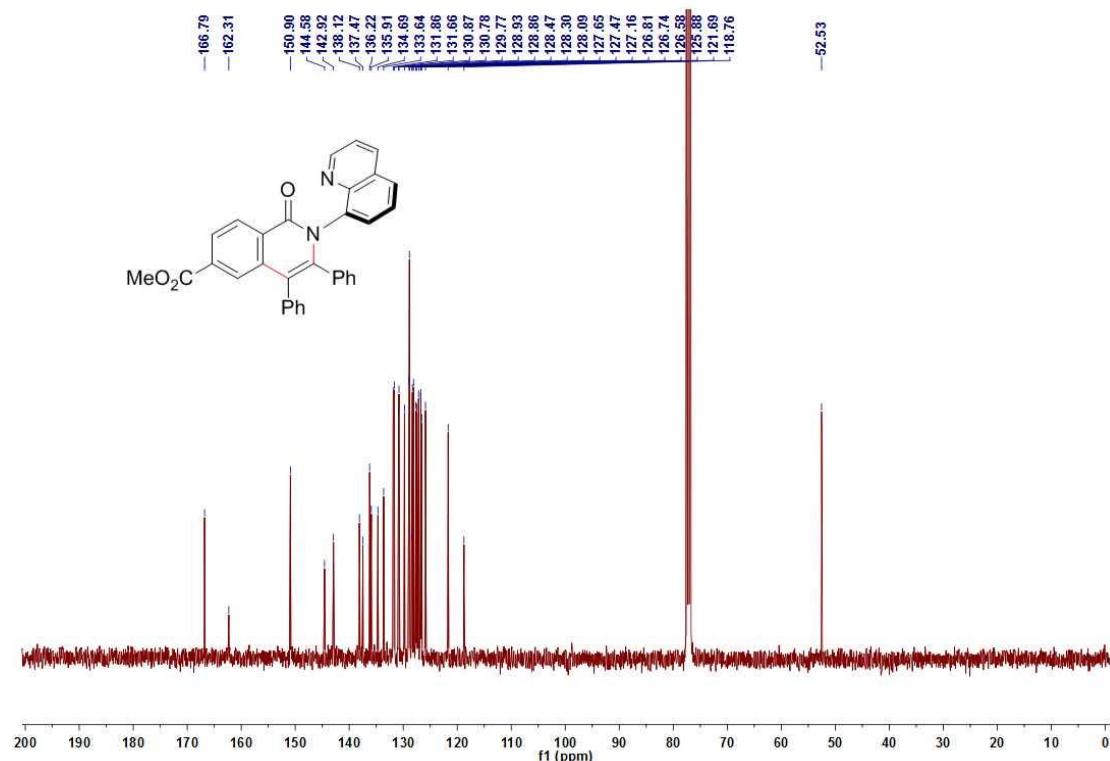
¹³C NMR Spectrum of **3ca**



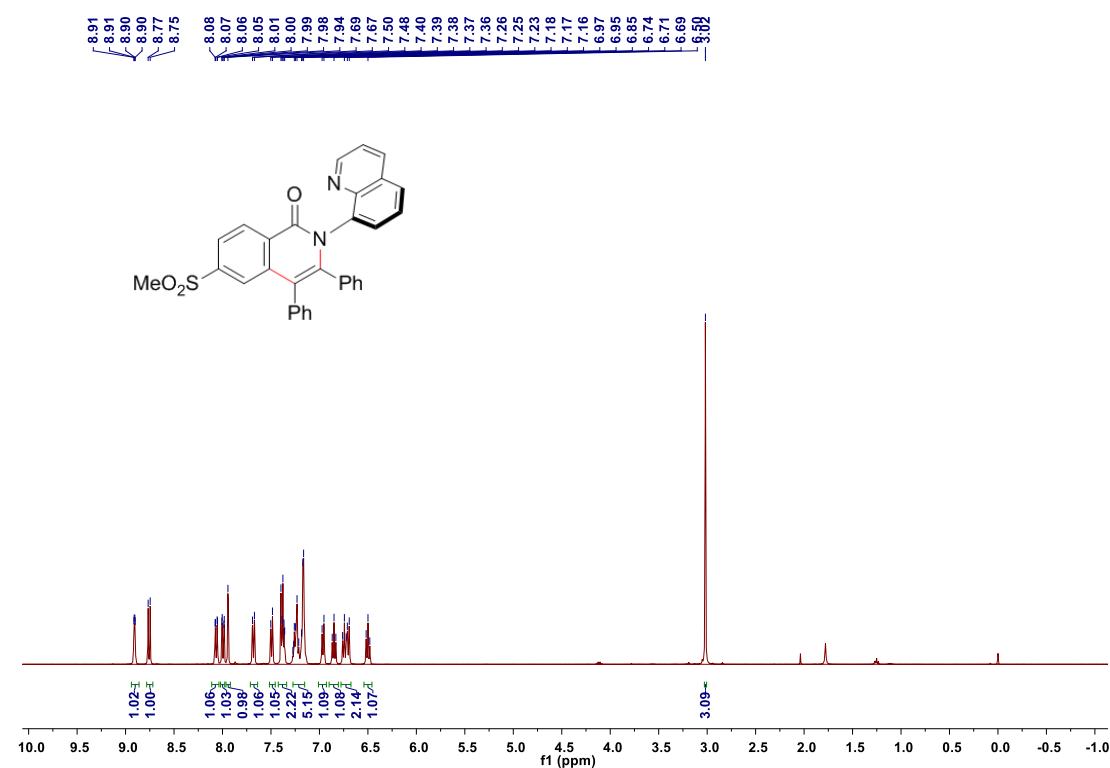
¹H NMR Spectrum of **3da**



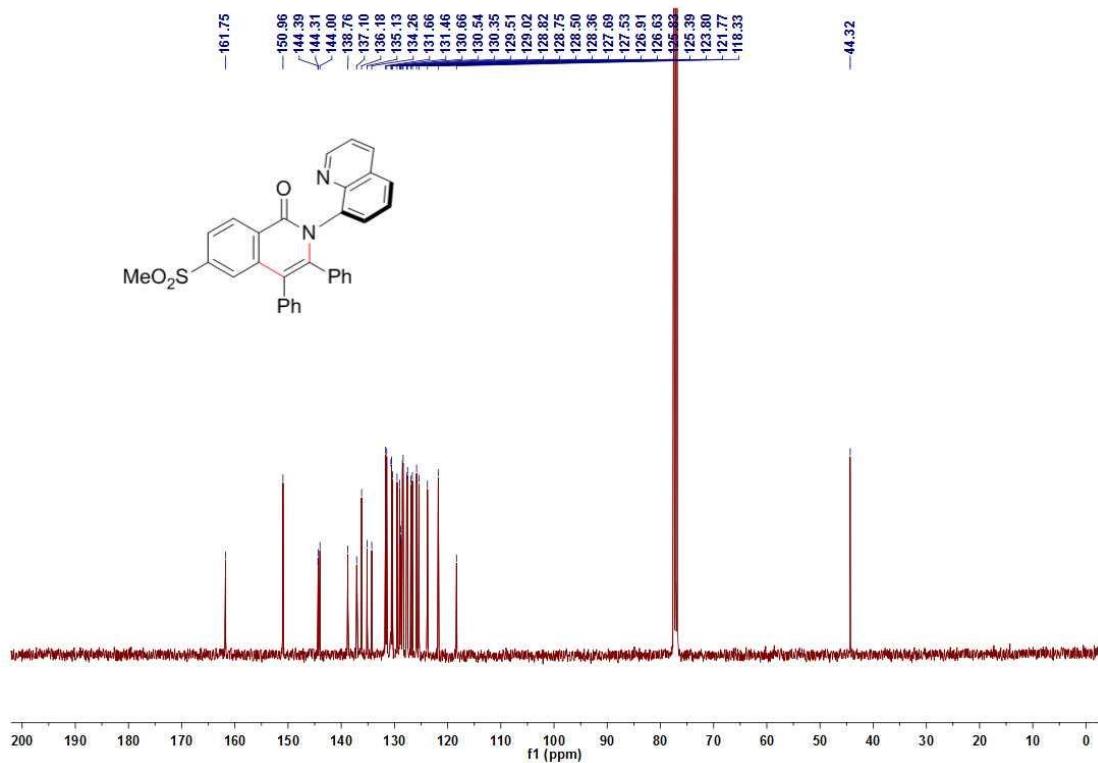
¹³C NMR Spectrum of **3da**



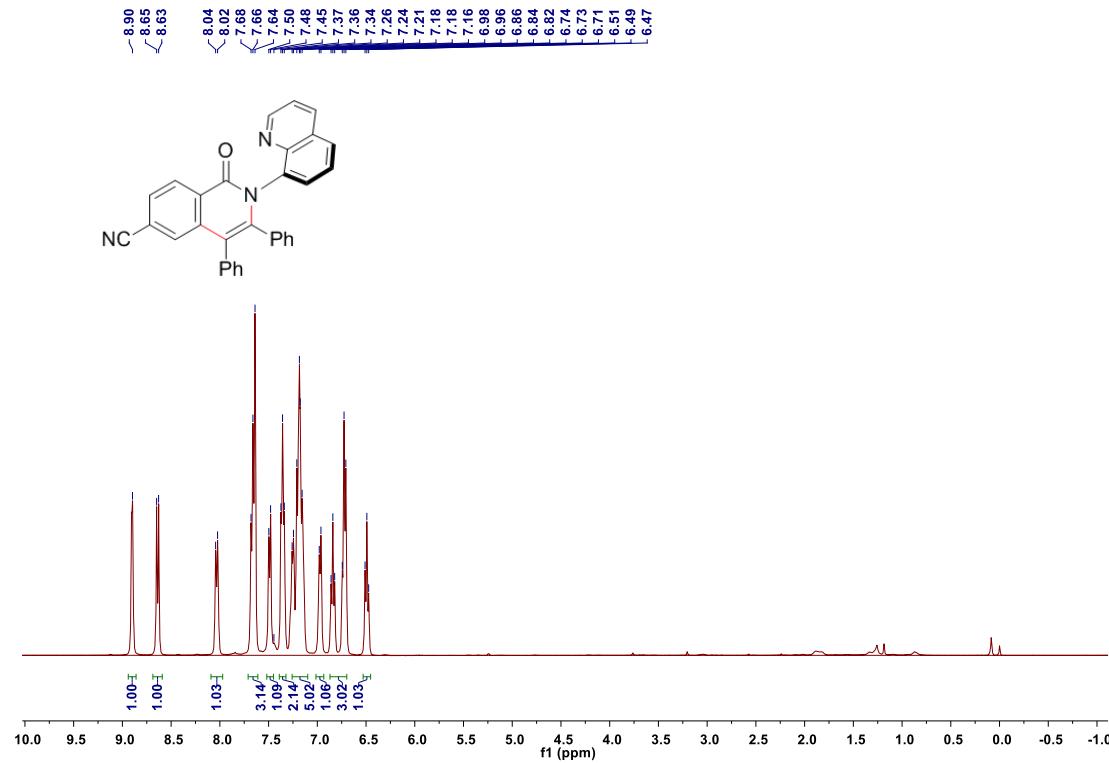
¹H NMR Spectrum of **3ea**



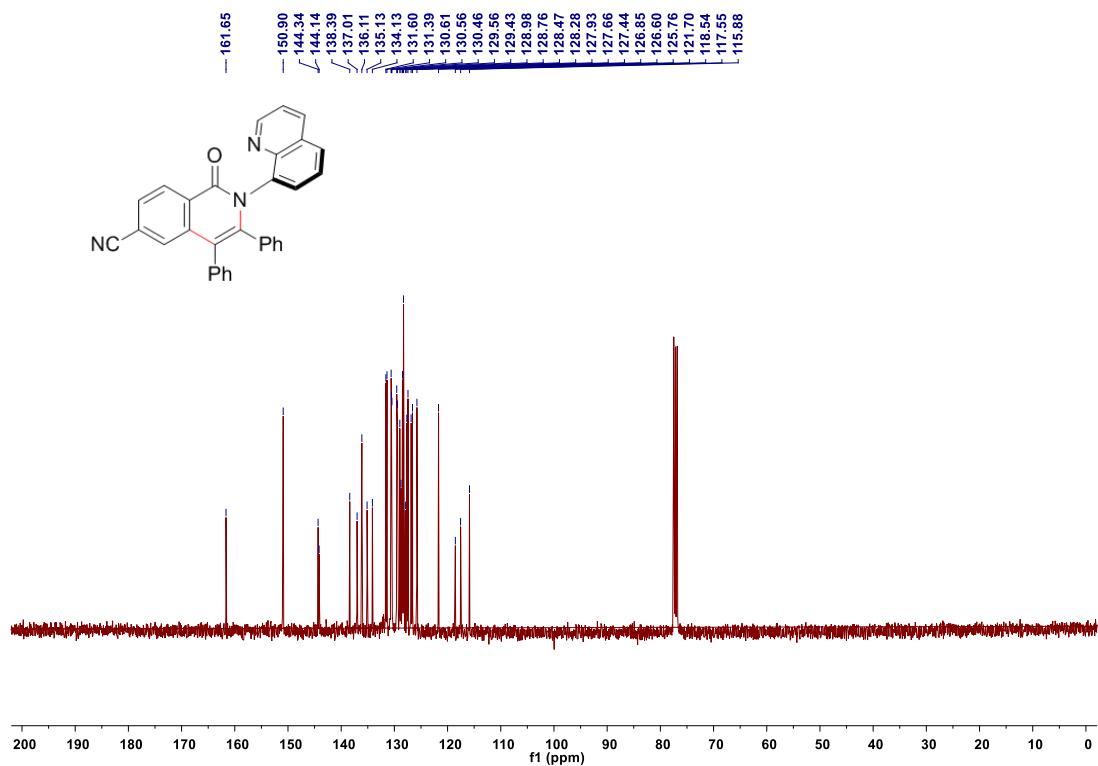
¹³C NMR Spectrum of **3ea**



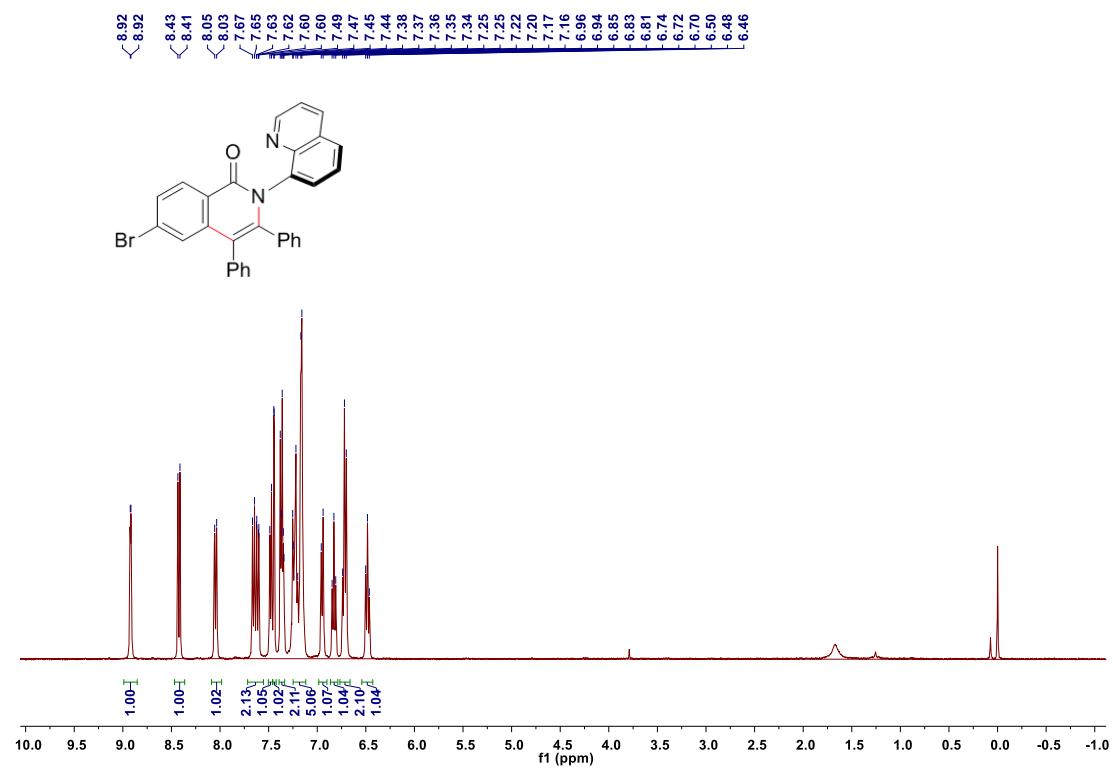
^1H NMR Spectrum of **3fa**



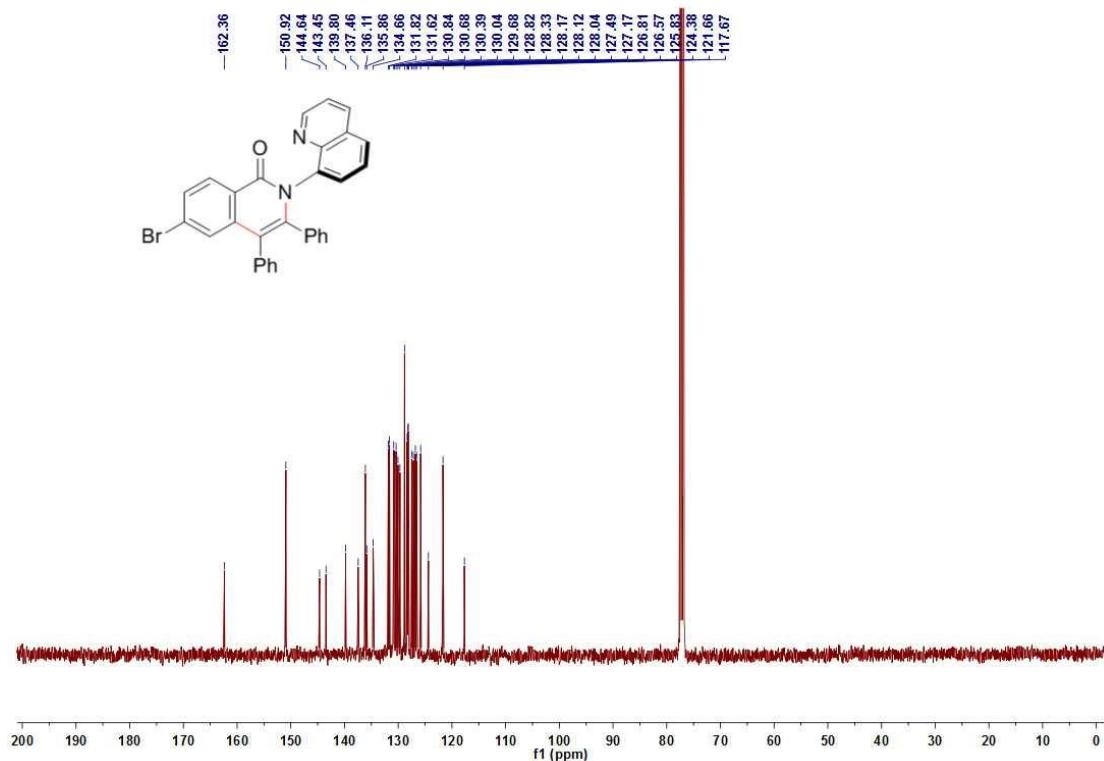
^{13}C NMR Spectrum of **3fa**



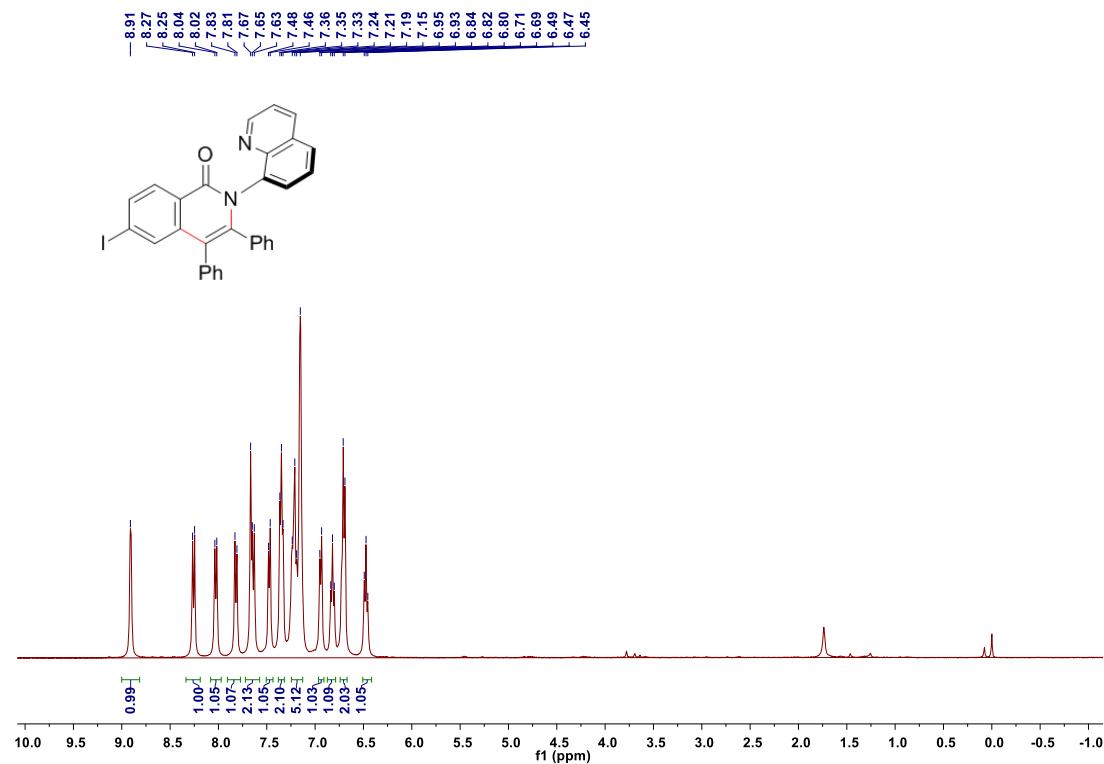
¹H NMR Spectrum of 3ga



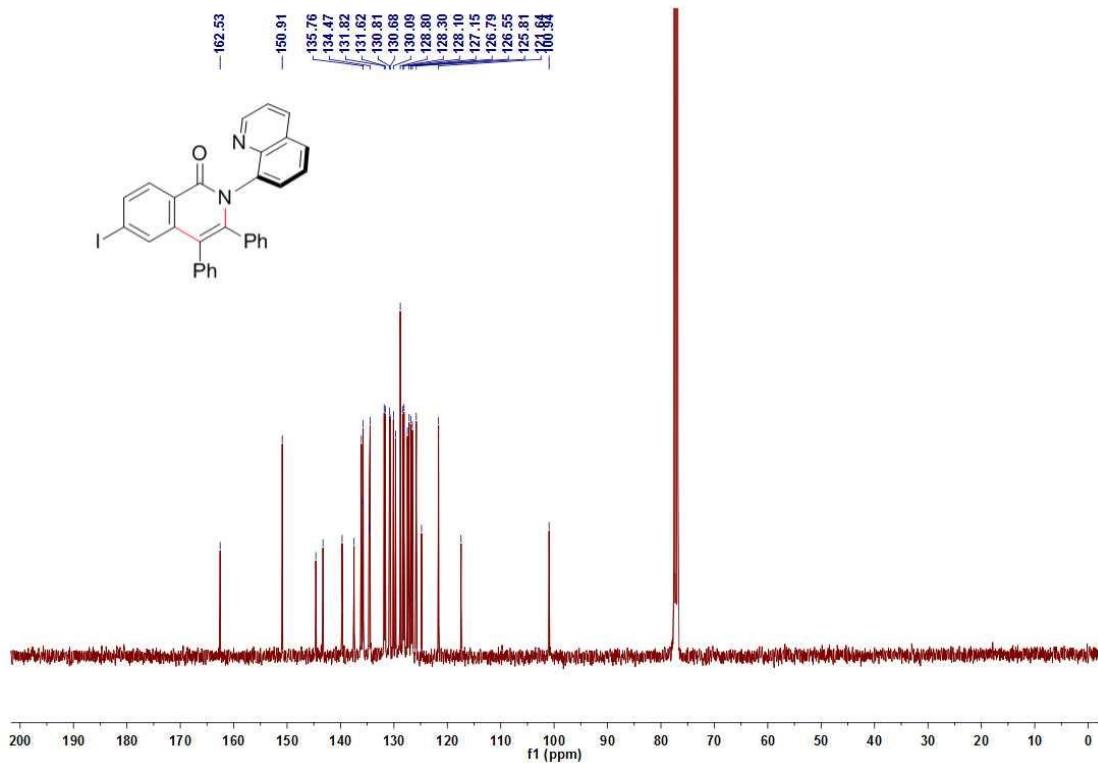
¹³C NMR Spectrum of **3ga**



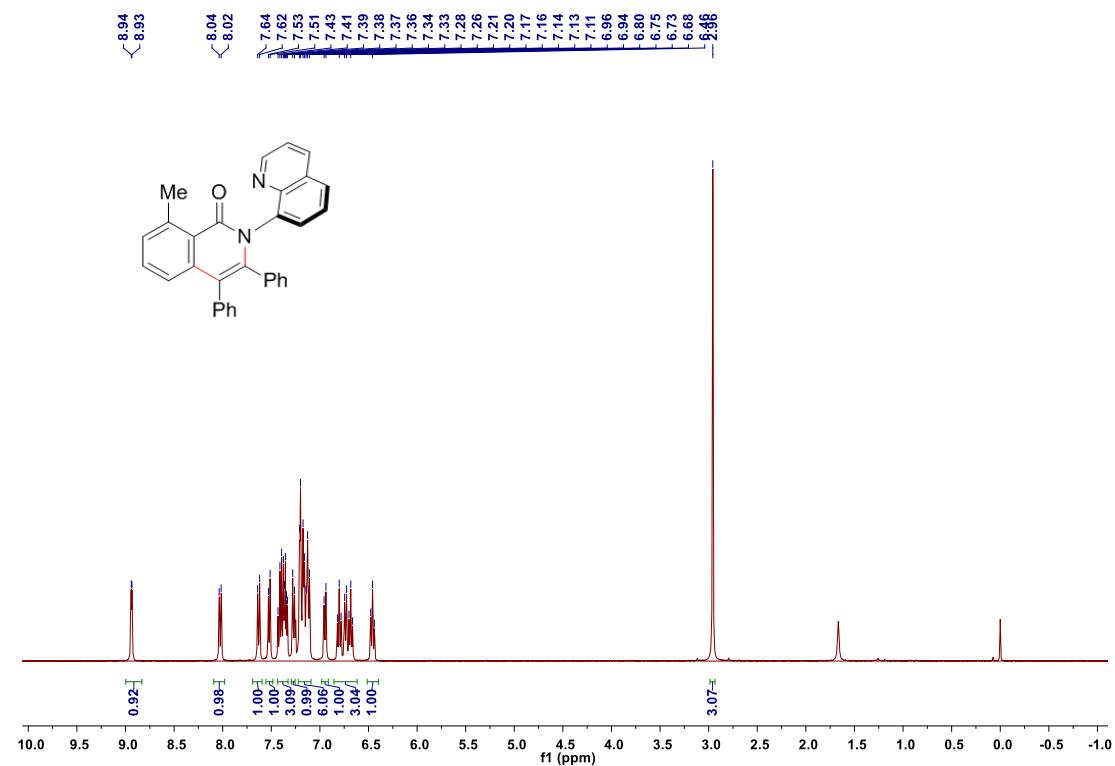
¹H NMR Spectrum of **3ha**



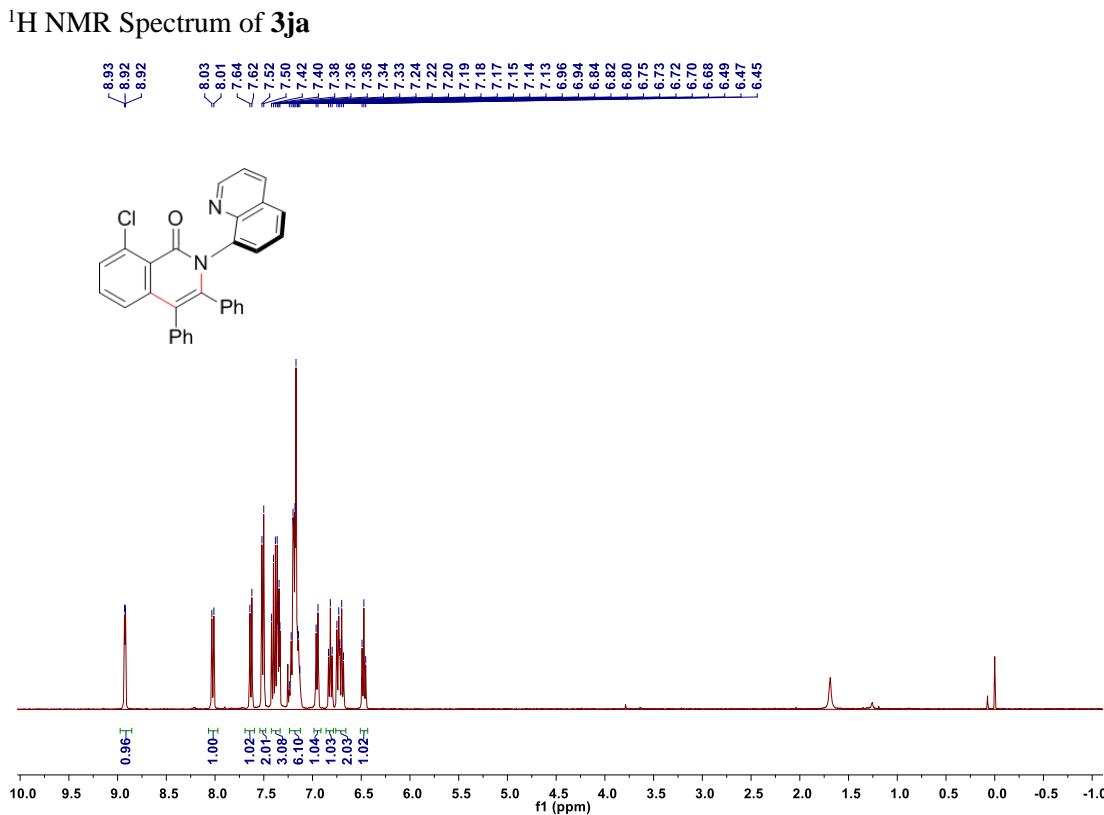
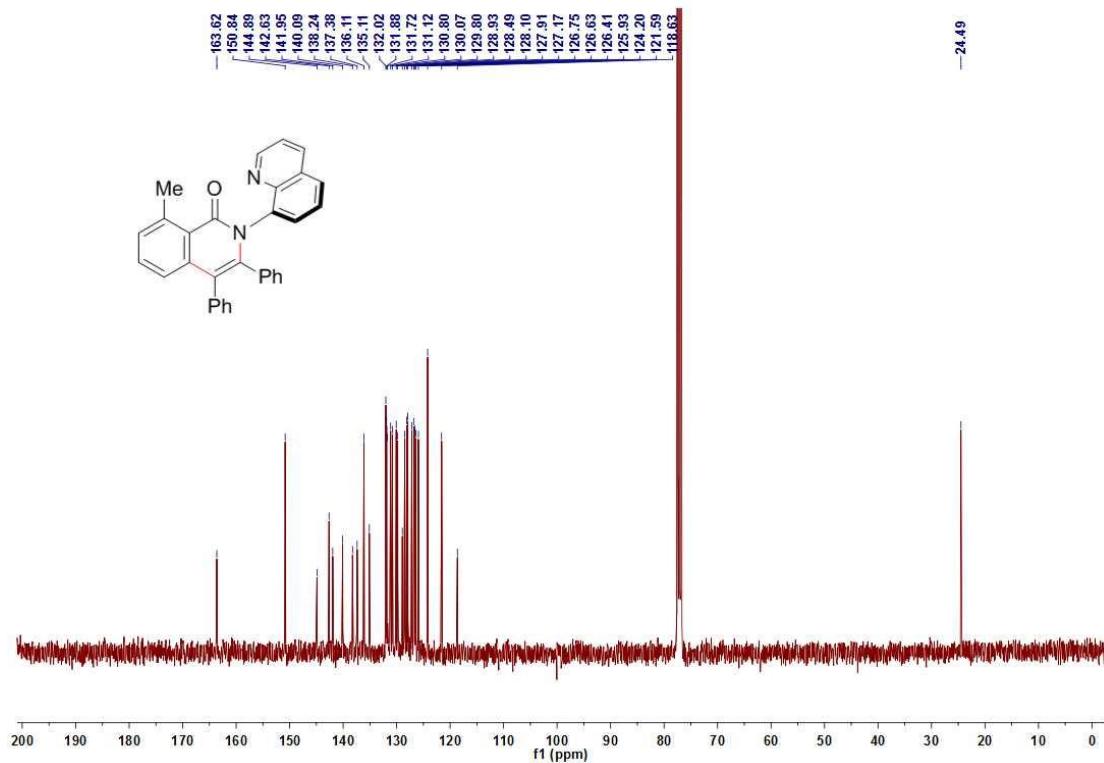
¹³C NMR Spectrum of **3ha**



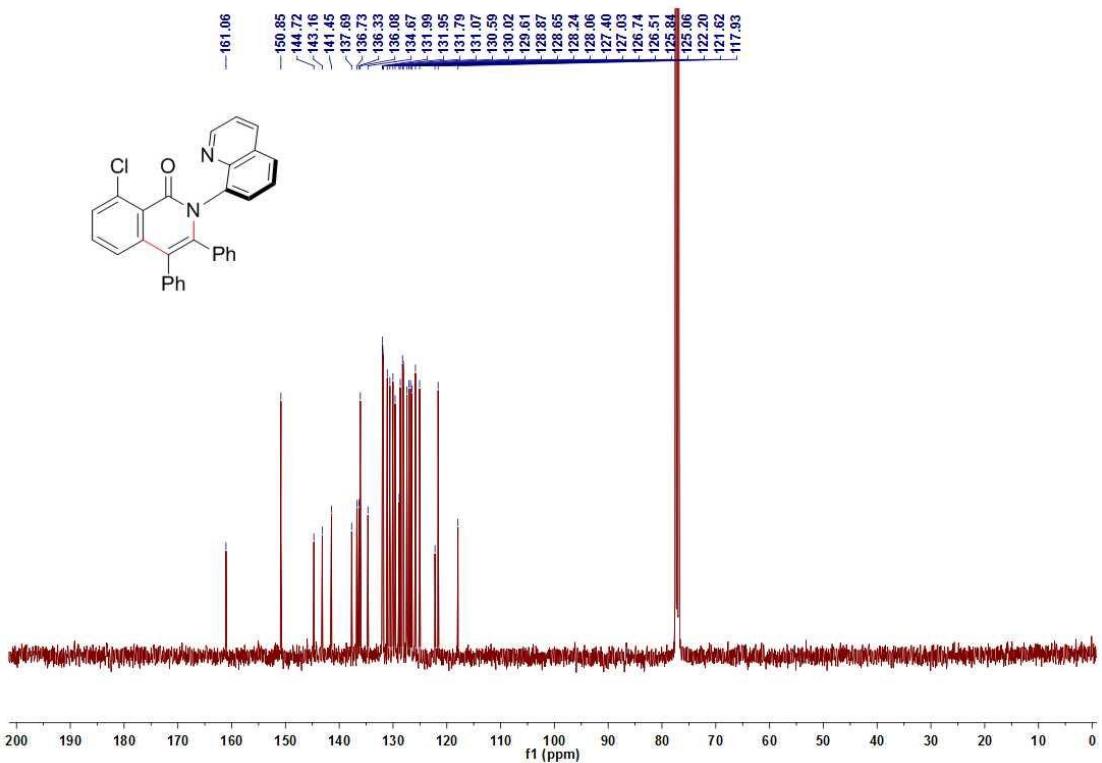
¹H NMR Spectrum of 3ia



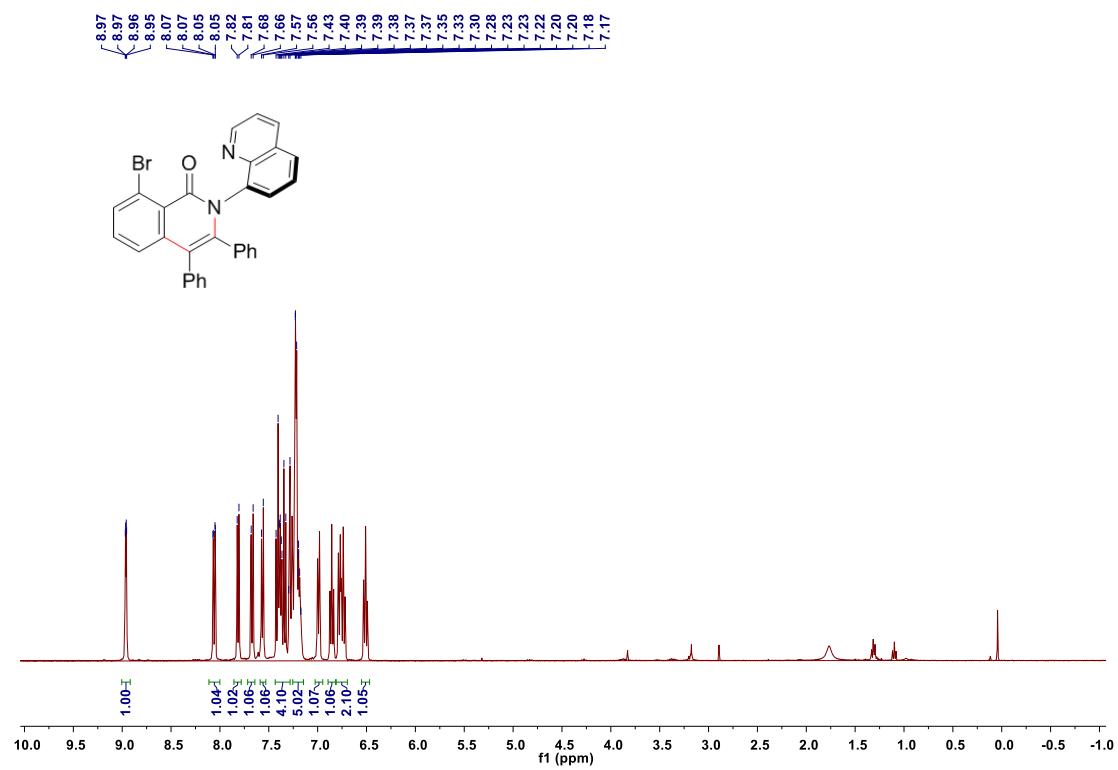
¹³C NMR Spectrum of 3ia



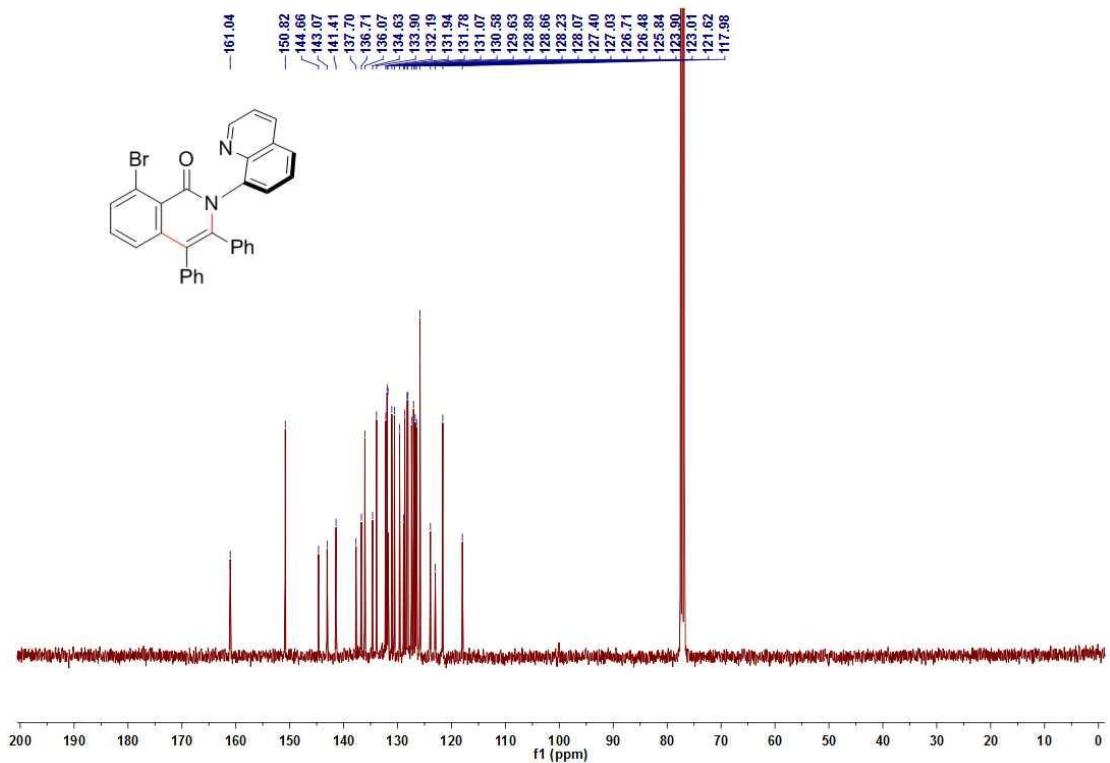
¹³C NMR Spectrum of 3ja



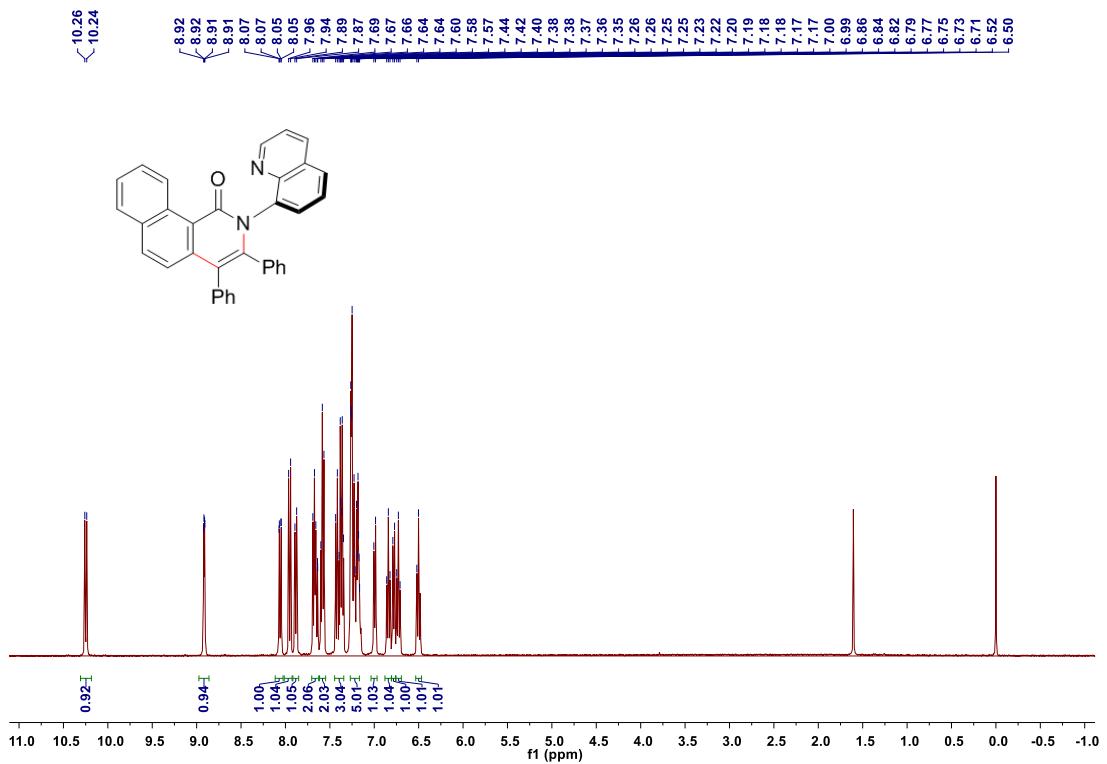
¹H NMR Spectrum of **3ka**



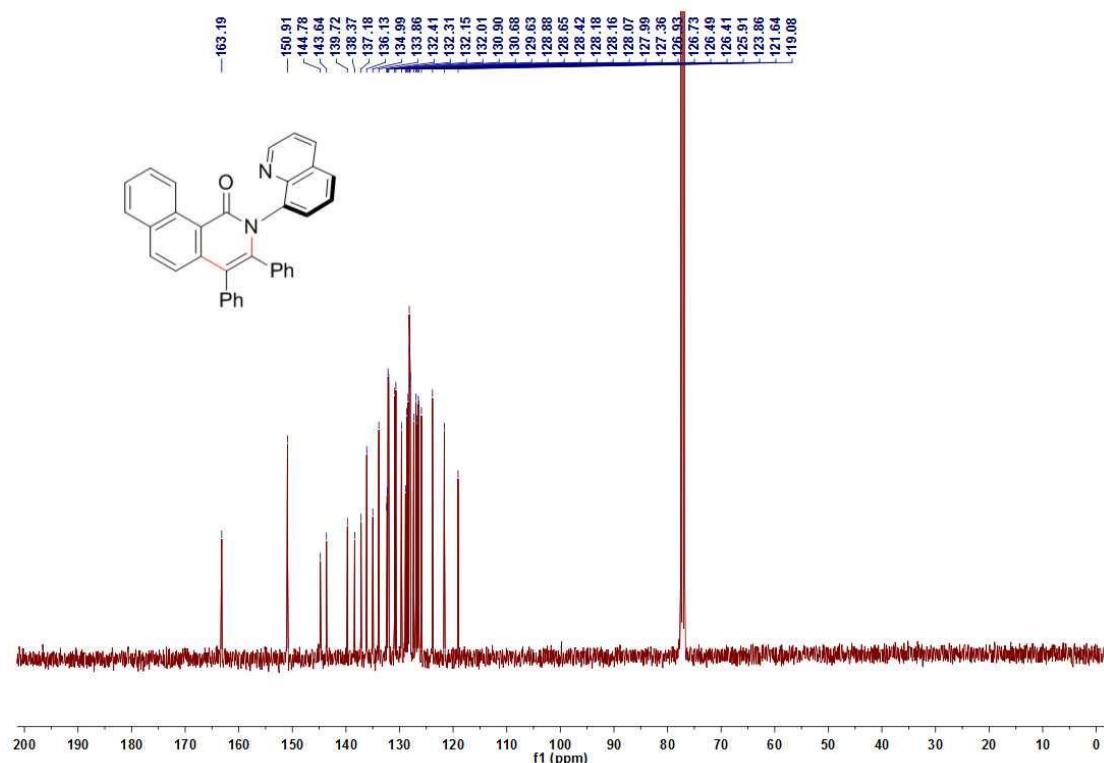
¹³C NMR Spectrum of **3ka**



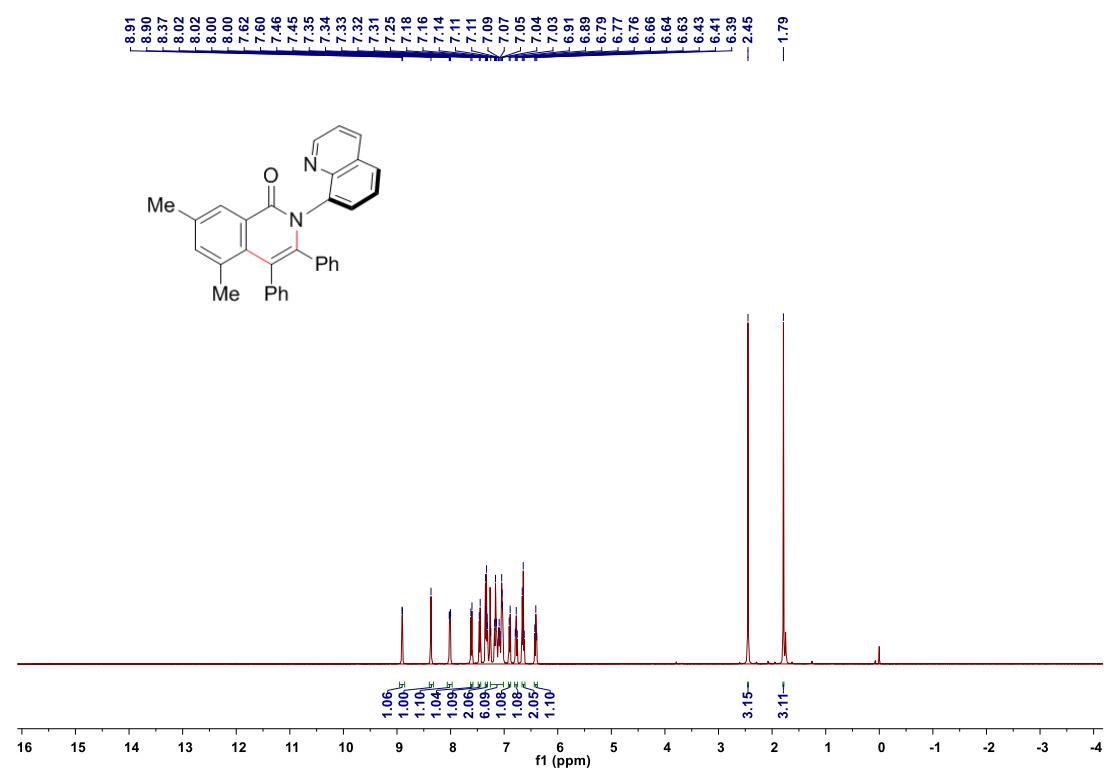
¹H NMR Spectrum of **3la**



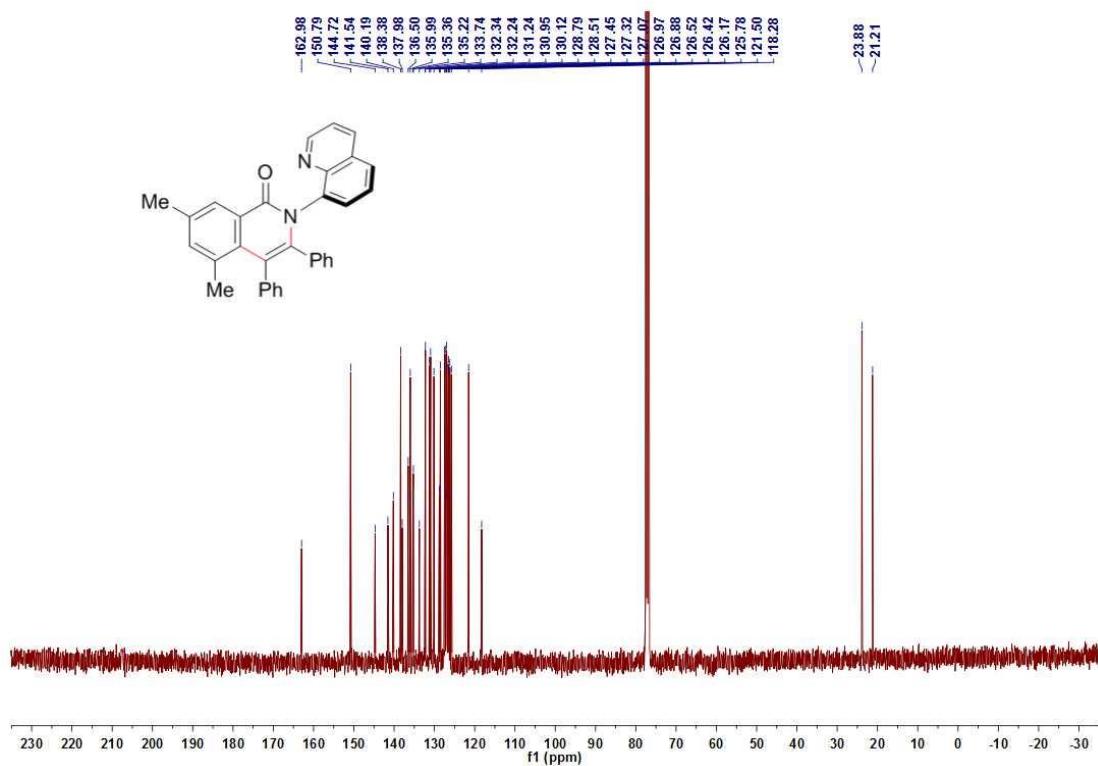
¹³C NMR Spectrum of **3la**



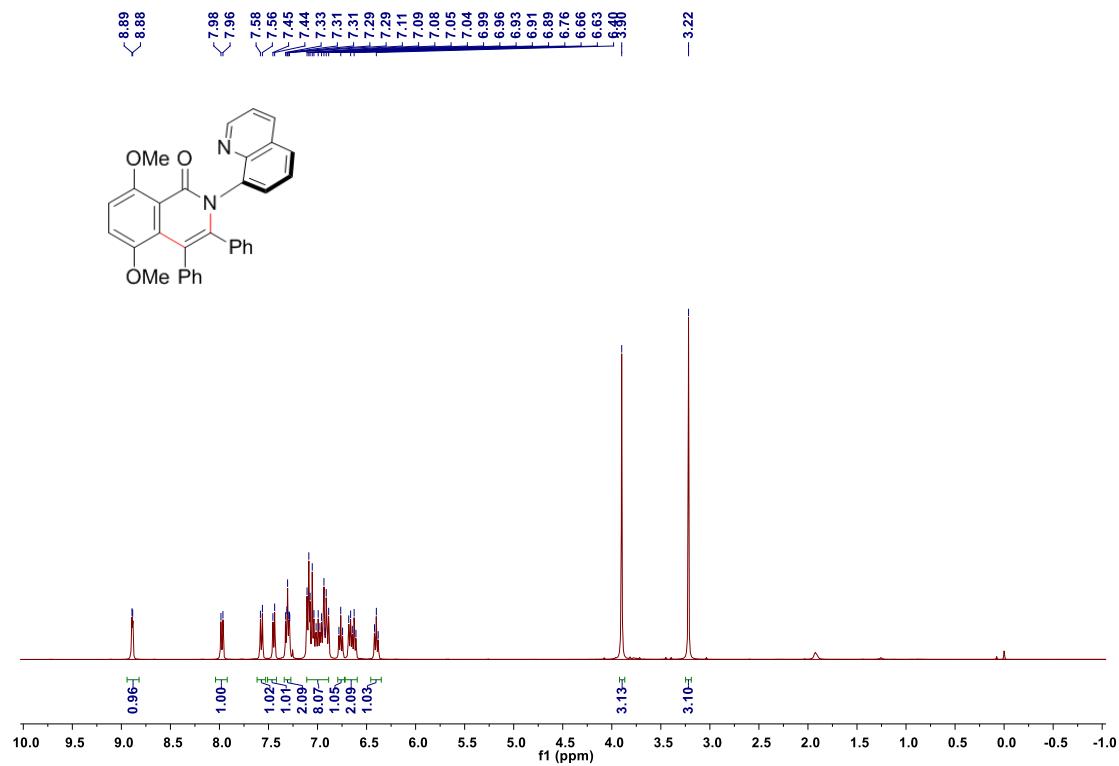
¹H NMR Spectrum of **3ma**



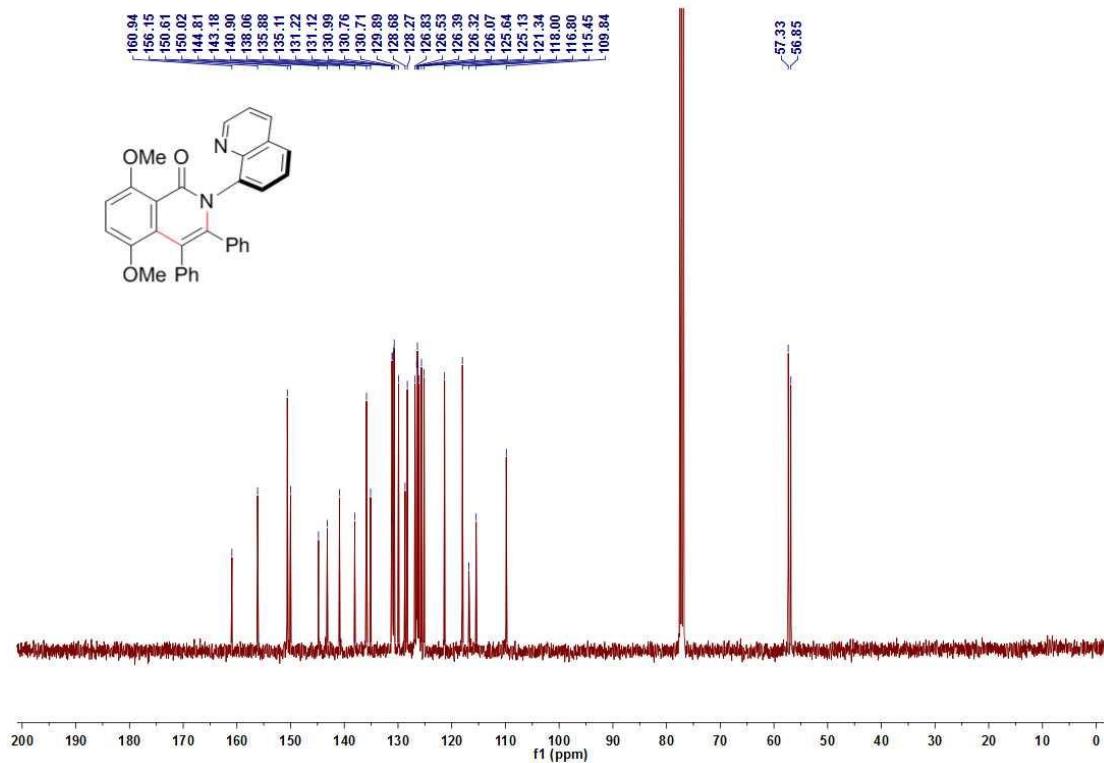
¹³C NMR Spectrum of **3ma**



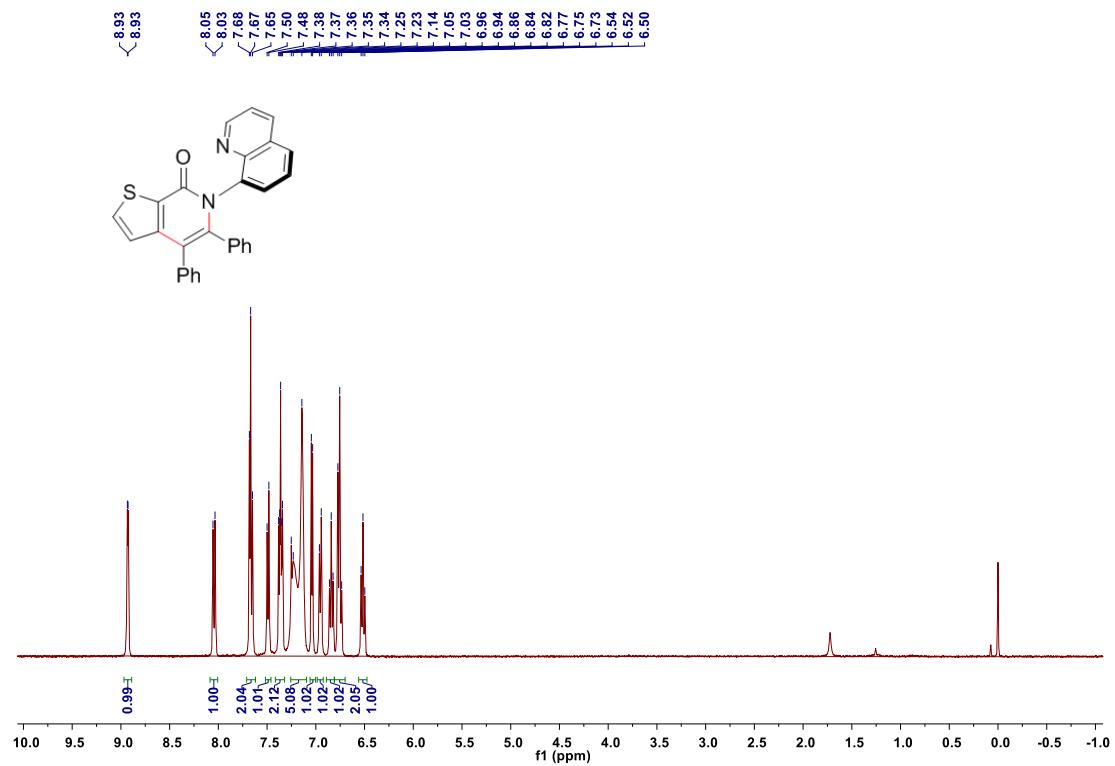
¹H NMR Spectrum of **3na**



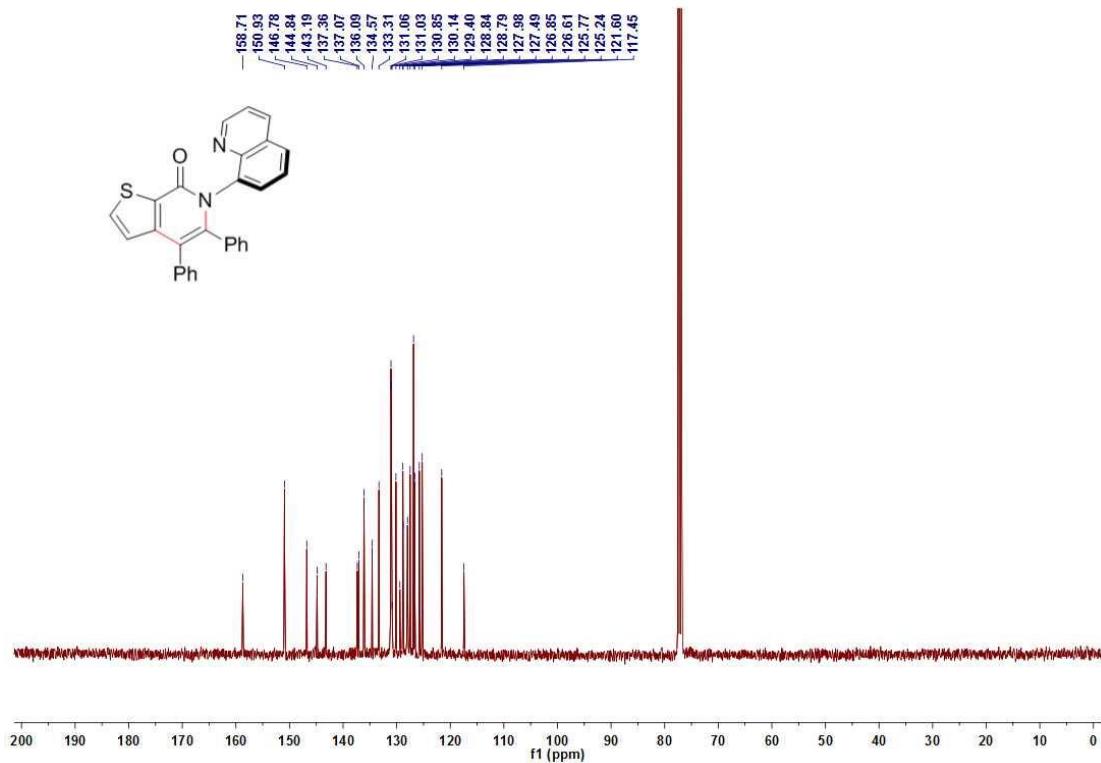
¹³C NMR Spectrum of **3na**



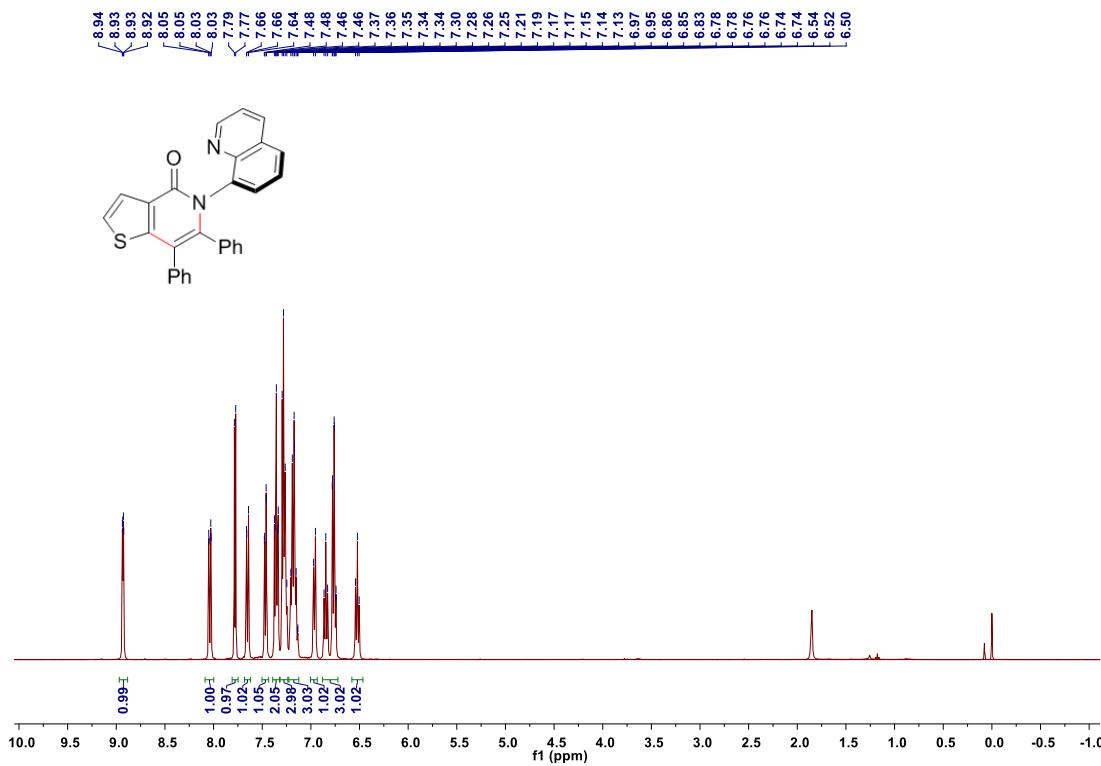
¹H NMR Spectrum of **3oa**



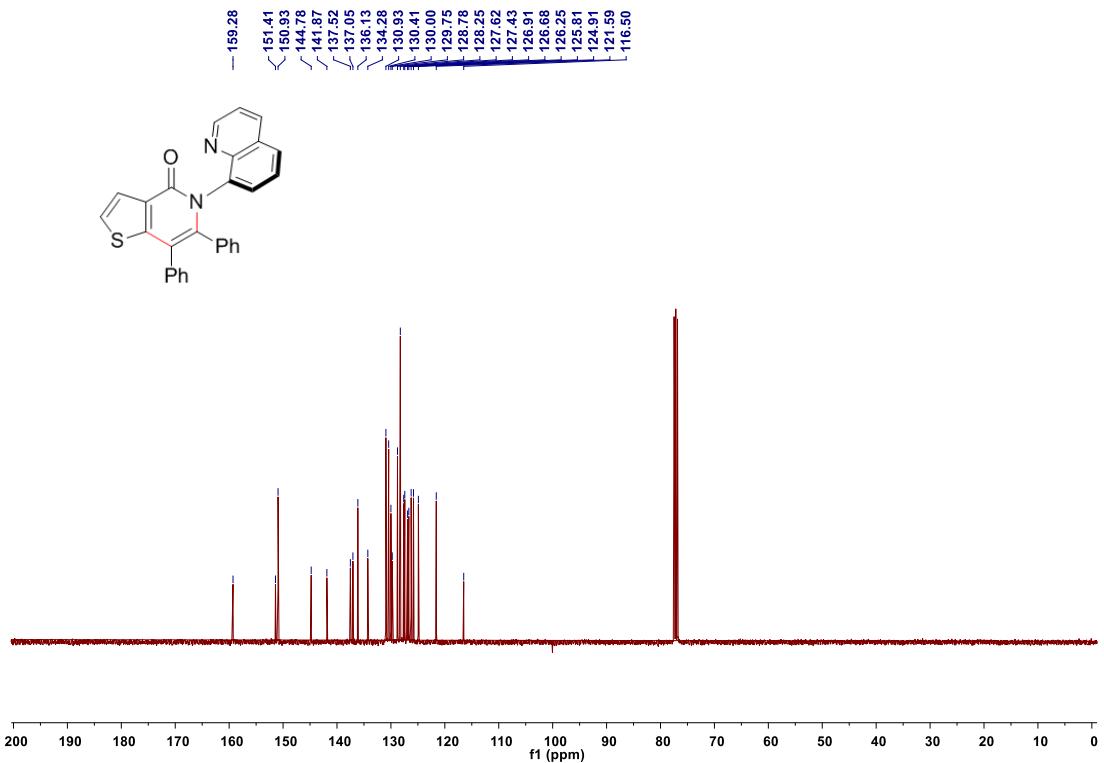
¹³C NMR Spectrum of **3oa**



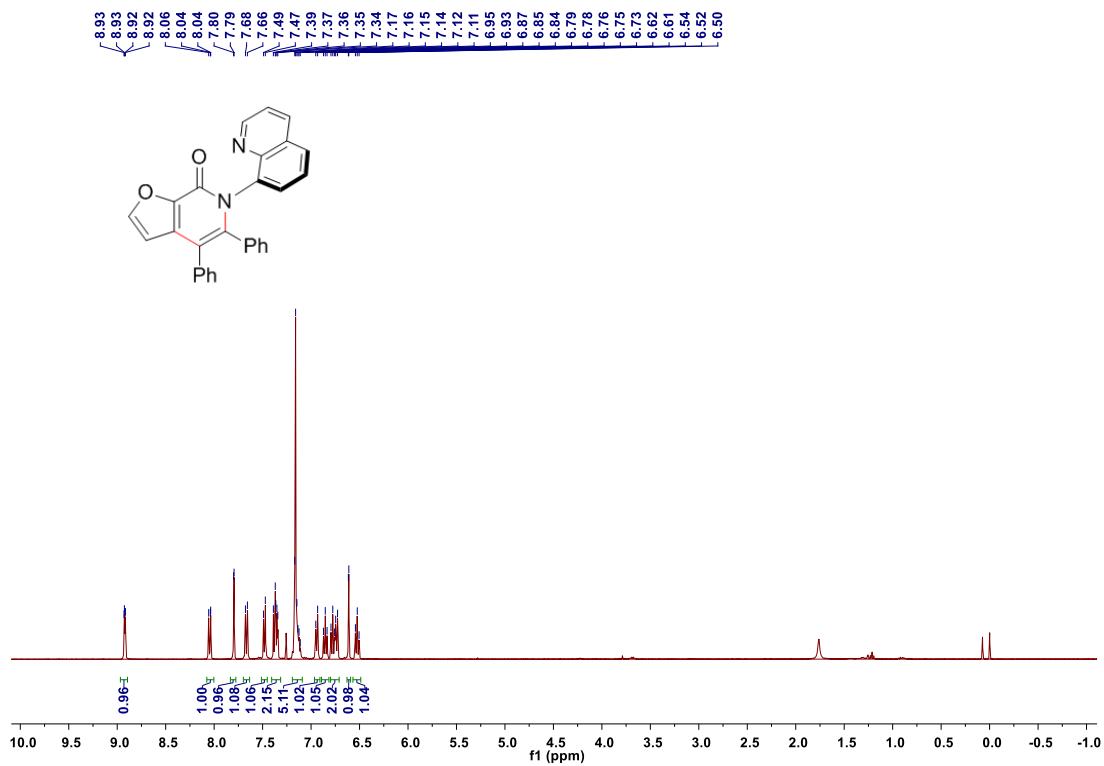
¹H NMR Spectrum of **3pa**



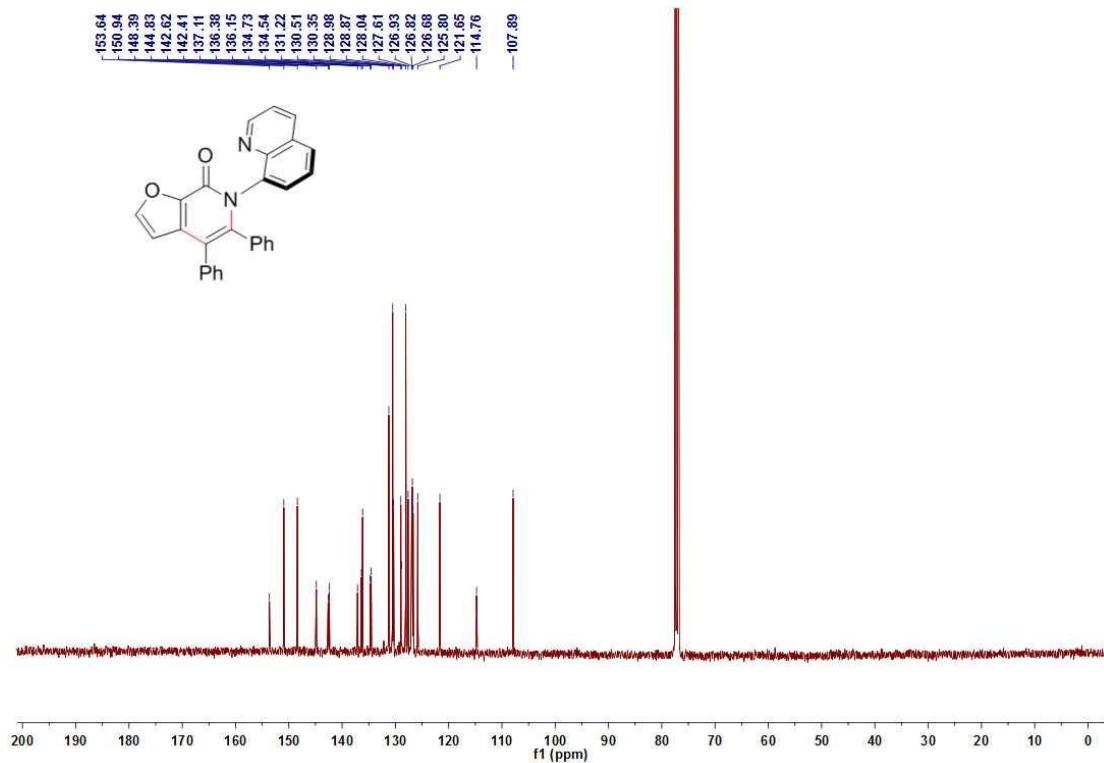
¹³C NMR Spectrum of **3pa**



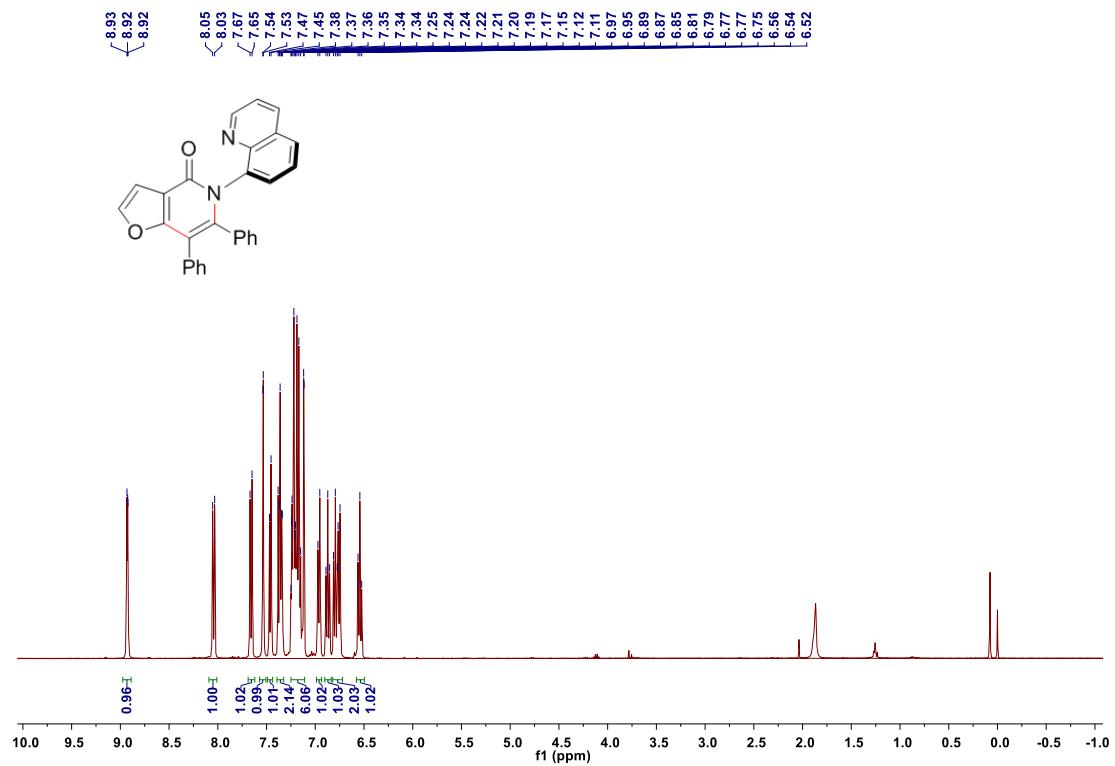
¹H NMR Spectrum of 3qa



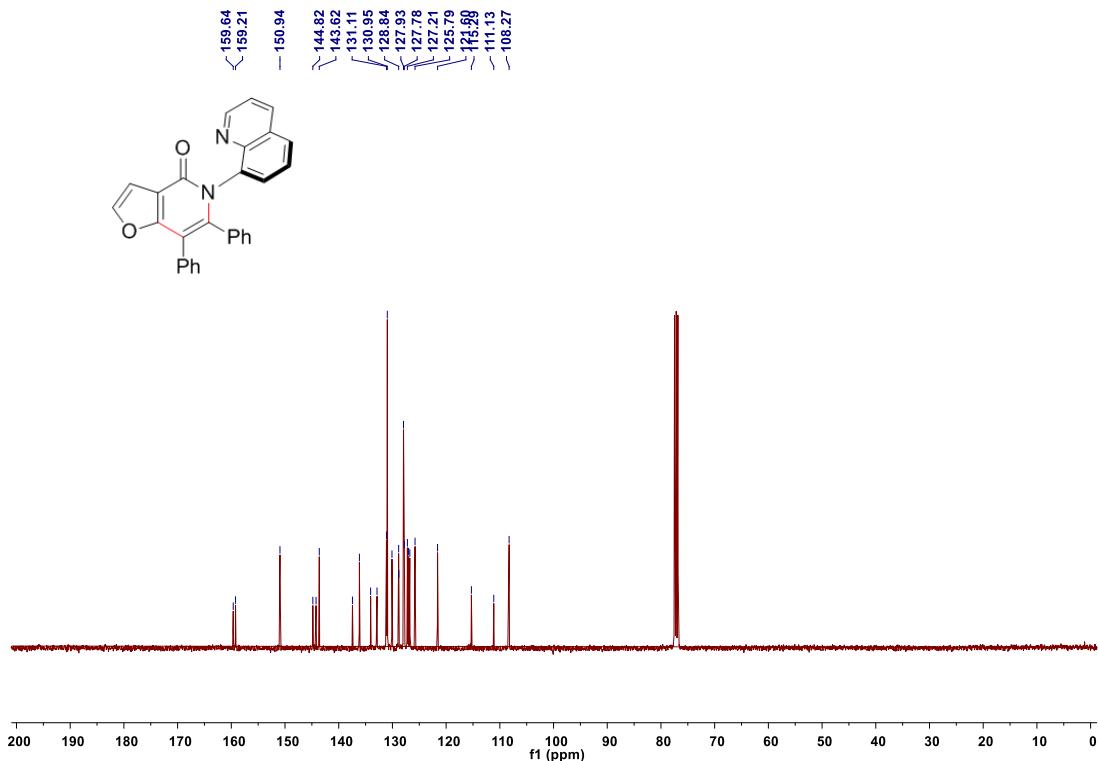
¹³C NMR Spectrum of 3qa



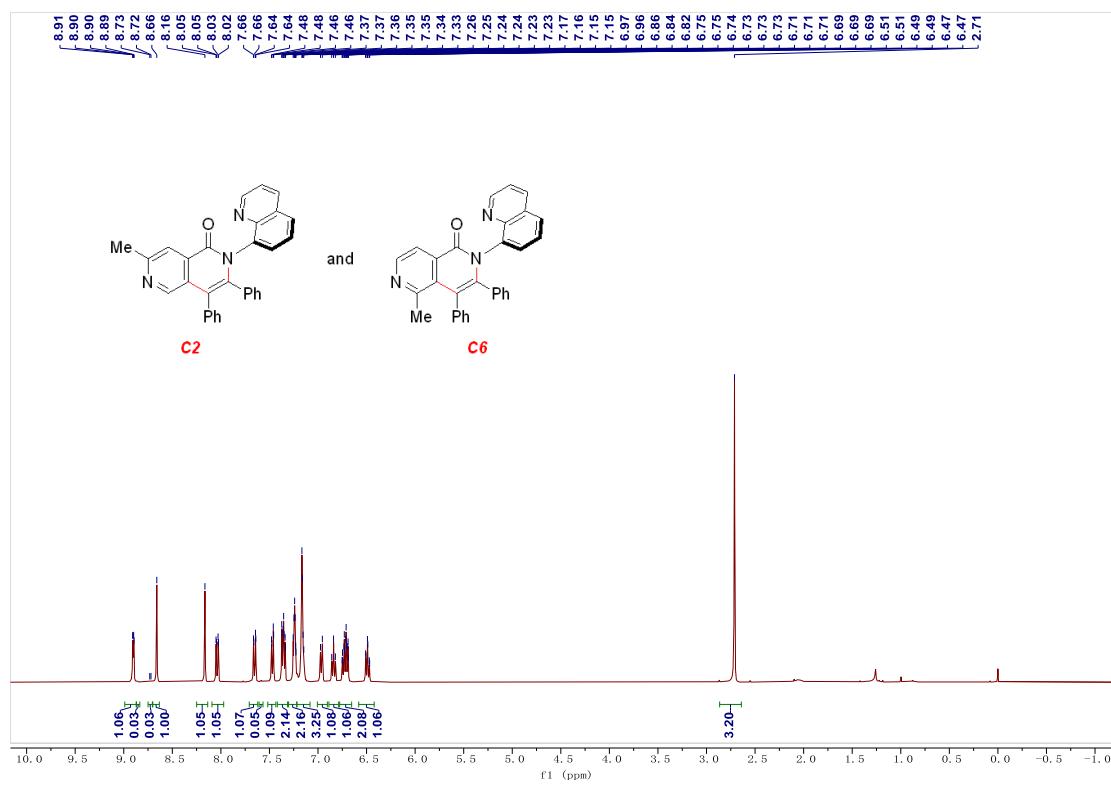
¹H NMR Spectrum of 3ra



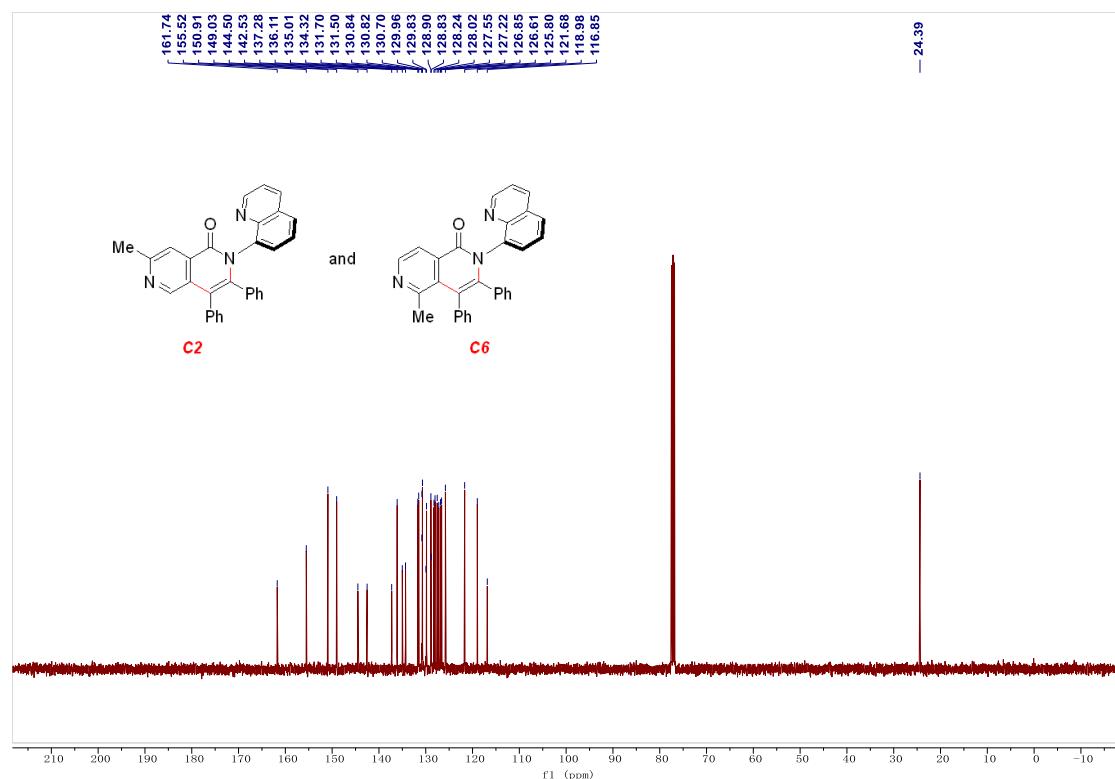
¹³C NMR Spectrum of 3ra



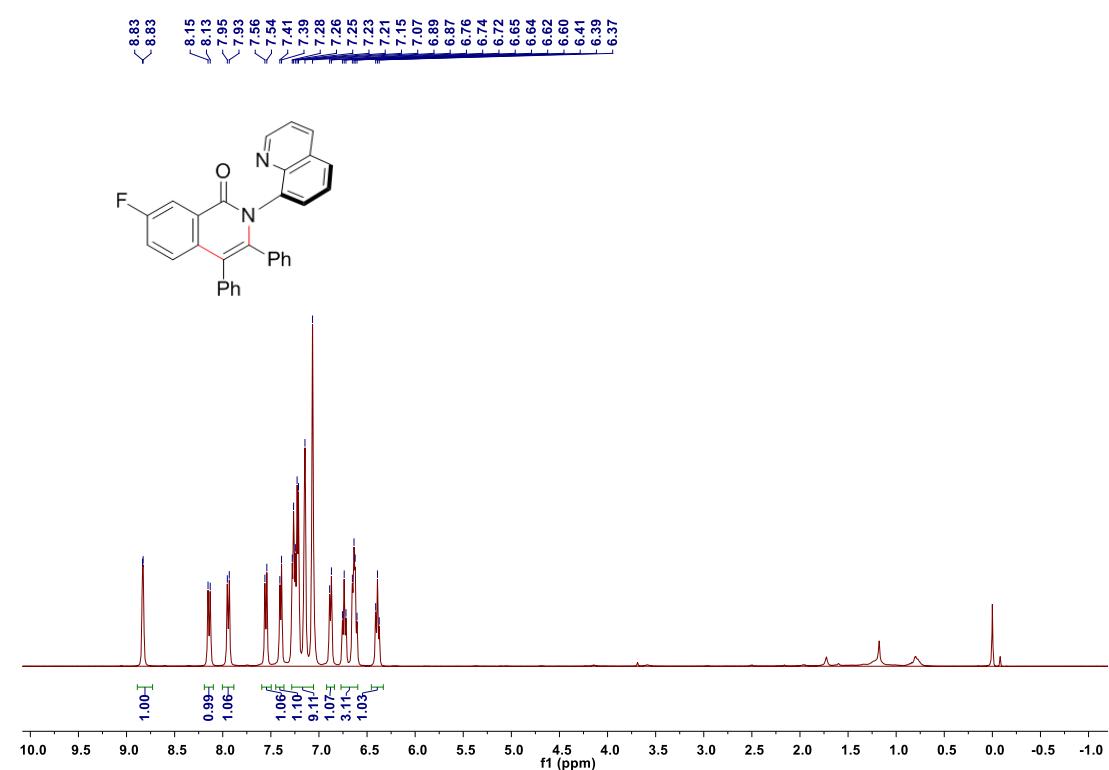
¹H NMR Spectrum of 3sa



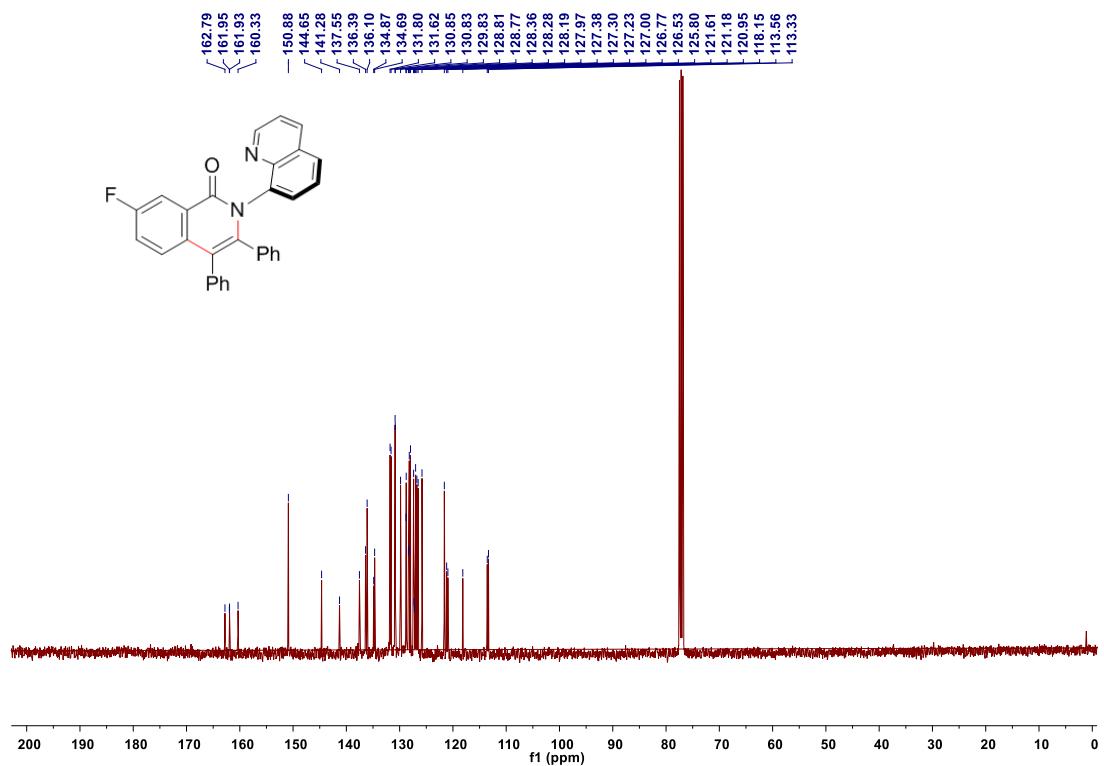
¹³C NMR Spectrum of **3sa**



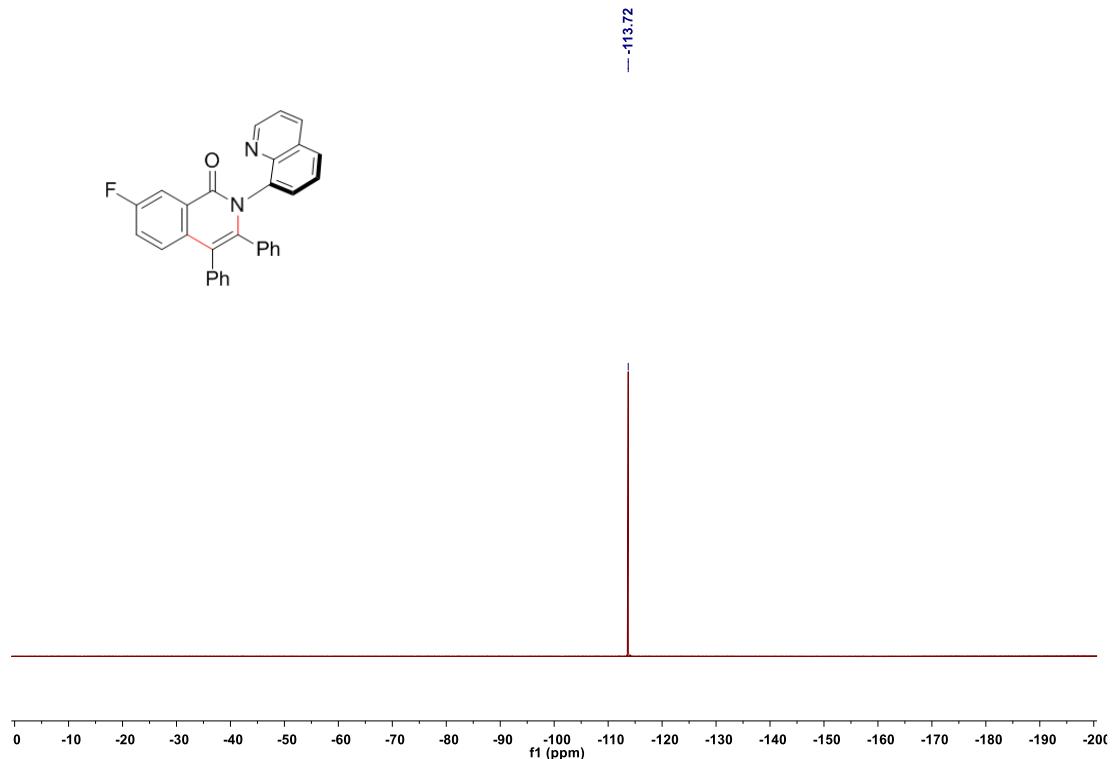
¹H NMR Spectrum of **3ta-C2**



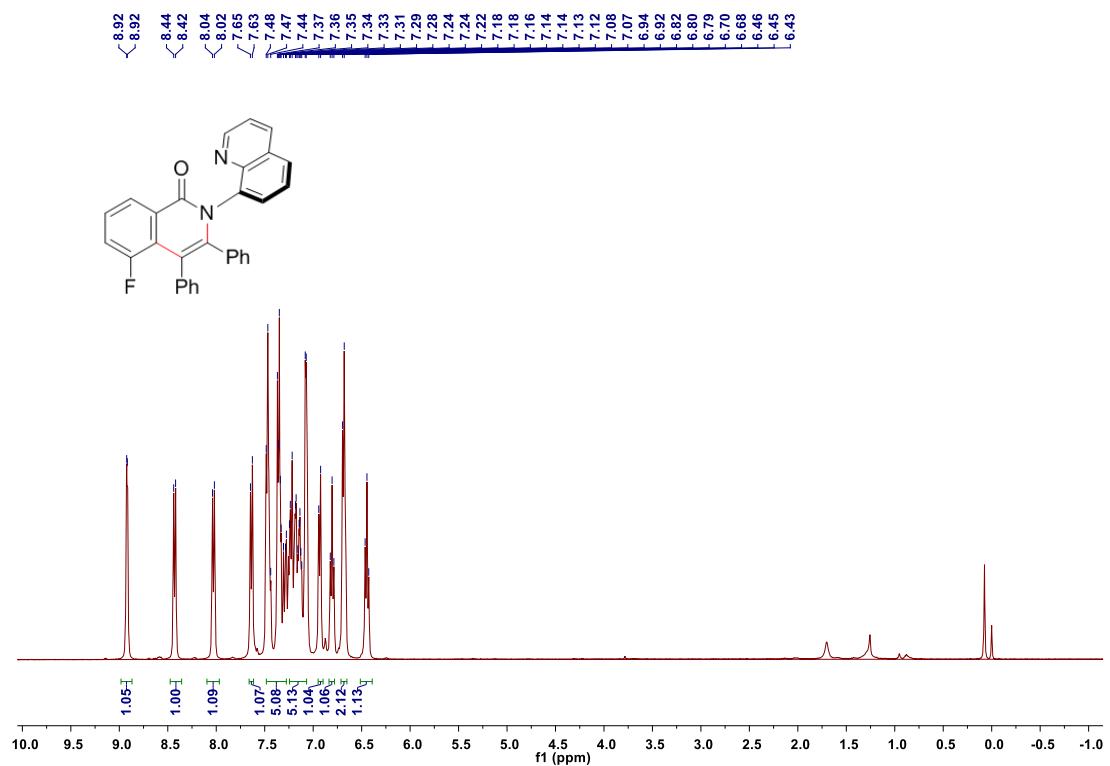
¹³C NMR Spectrum of **3ta-C2**



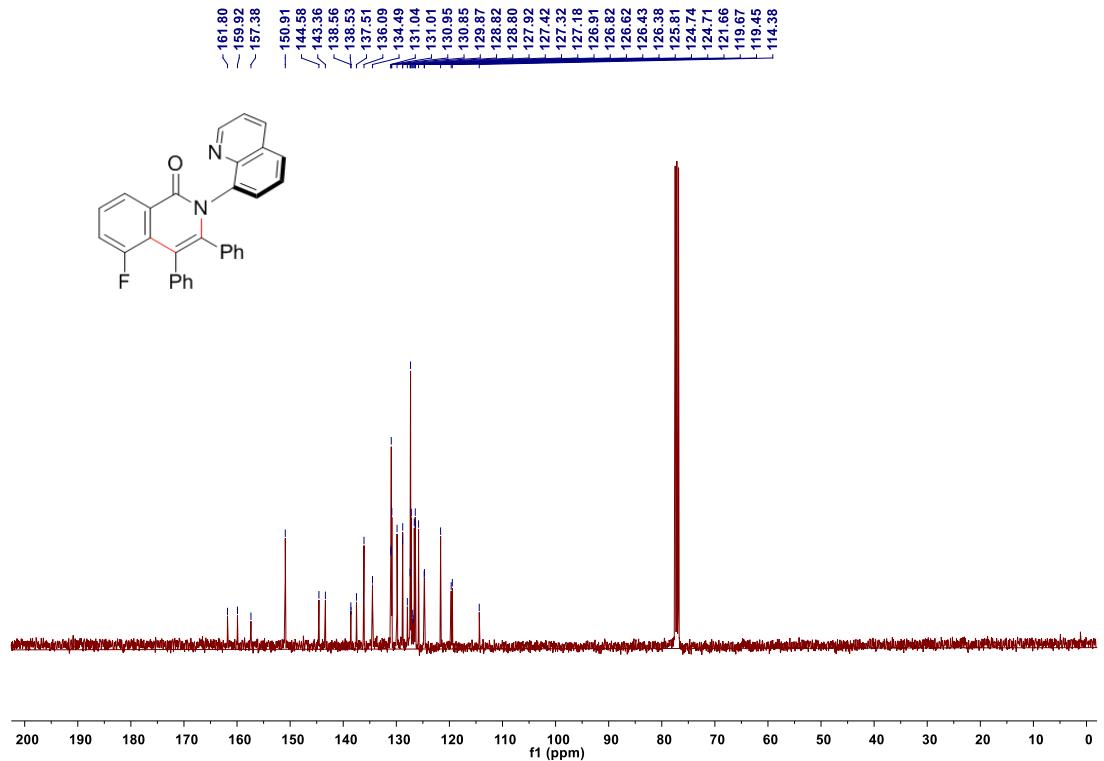
¹⁹F NMR Spectrum of **3ta-C2**



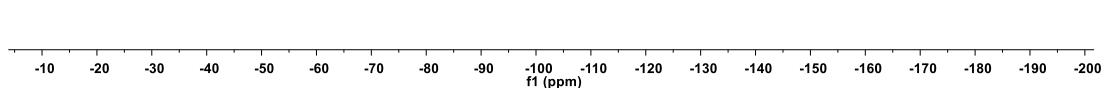
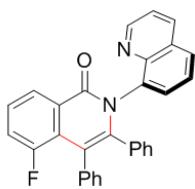
¹H NMR Spectrum of **3ta-C6**



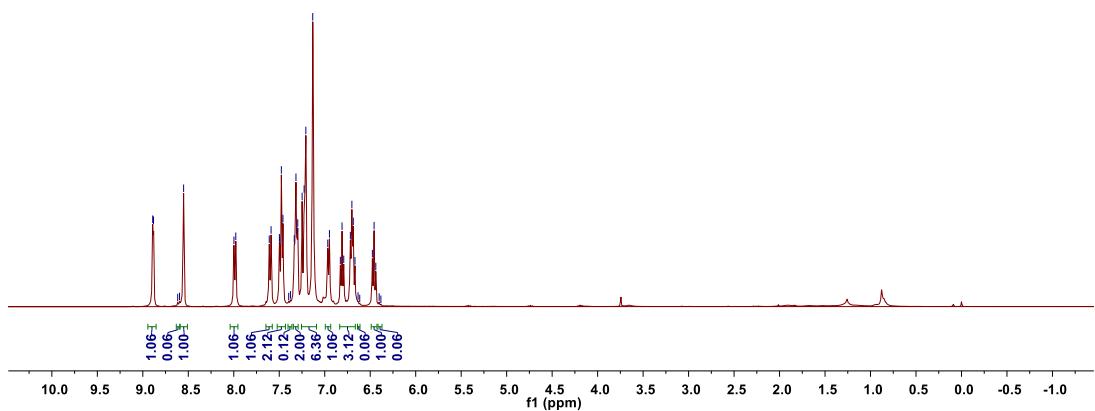
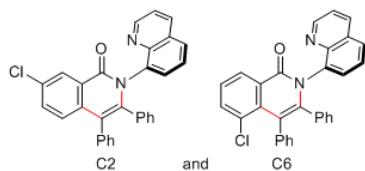
¹³C NMR Spectrum of **3ta-C6**



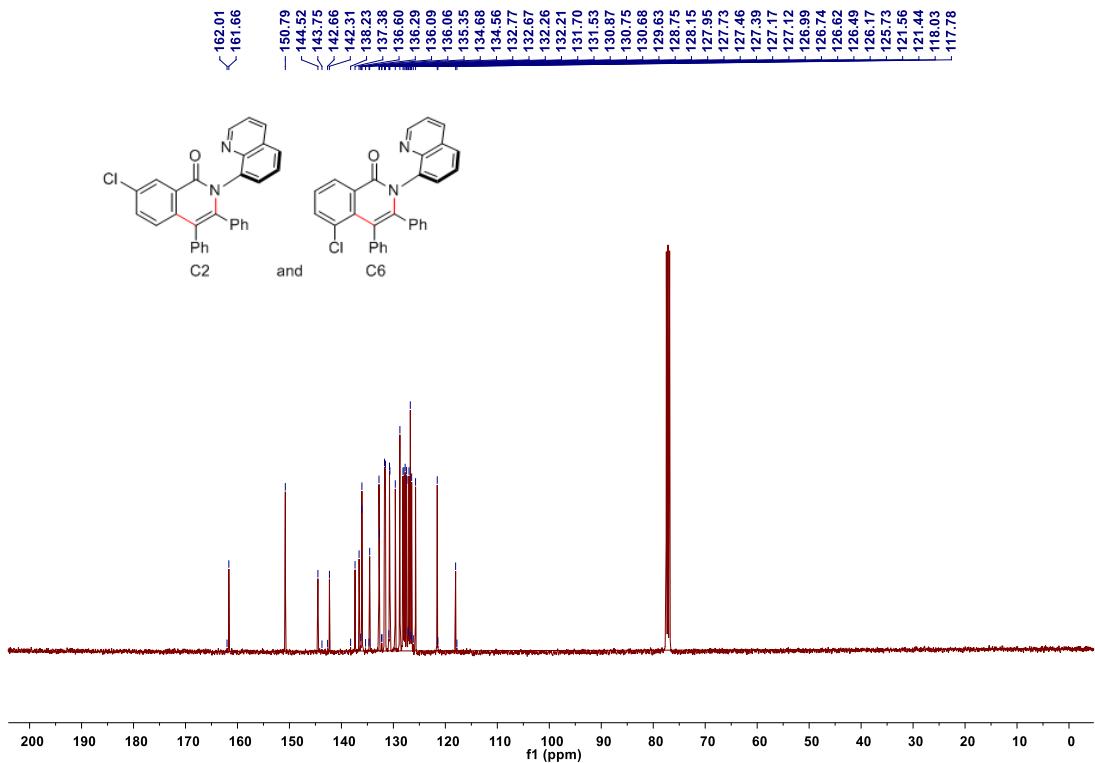
¹⁹F NMR Spectrum of **3ta-C6**



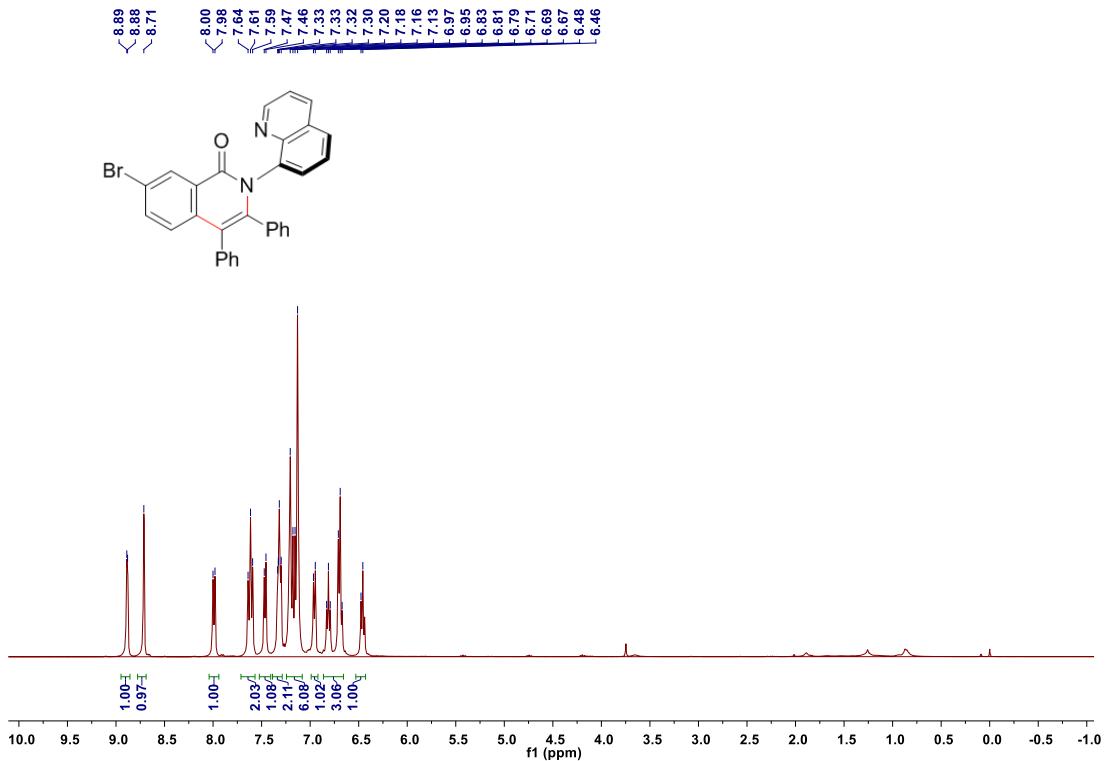
¹H NMR Spectrum of 3ua



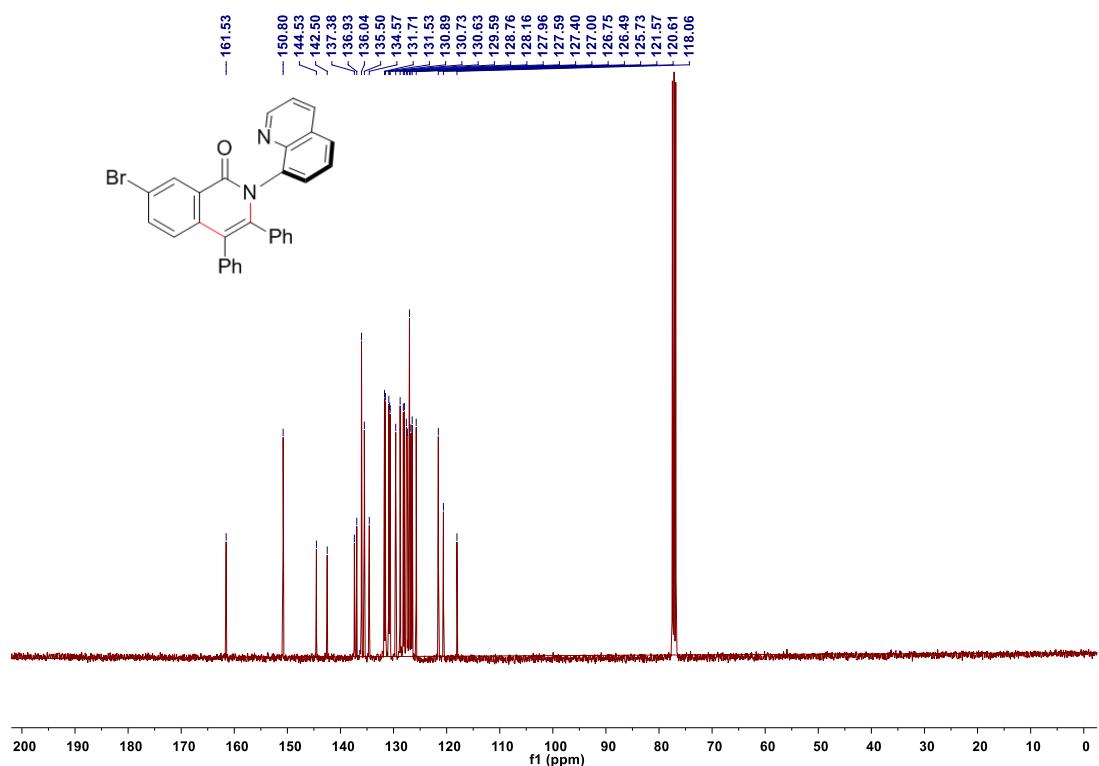
¹³C NMR Spectrum of 3ua



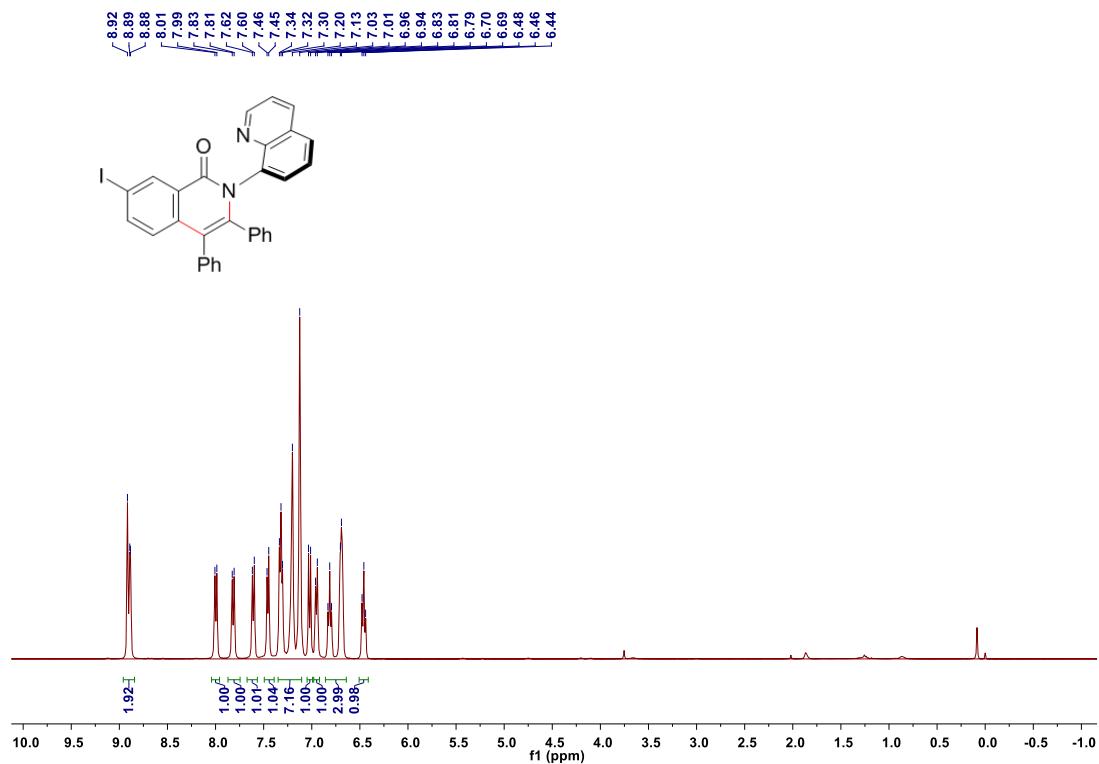
¹H NMR Spectrum of **3va**



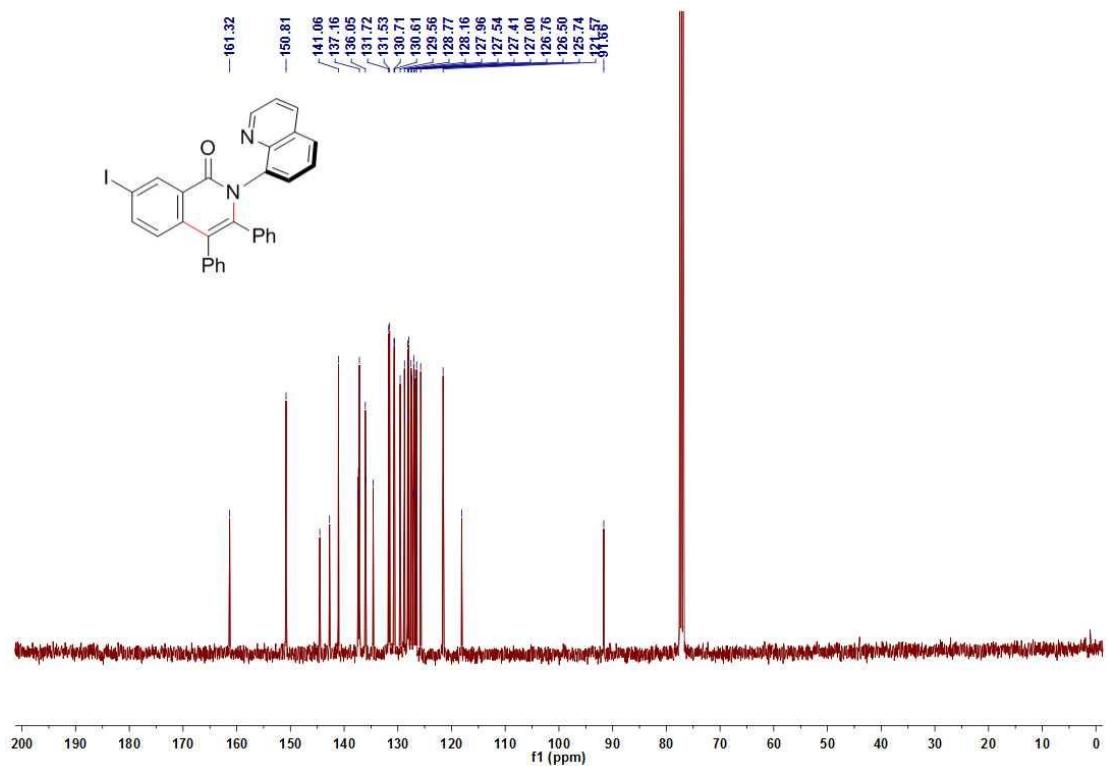
¹³C NMR Spectrum of **3va**



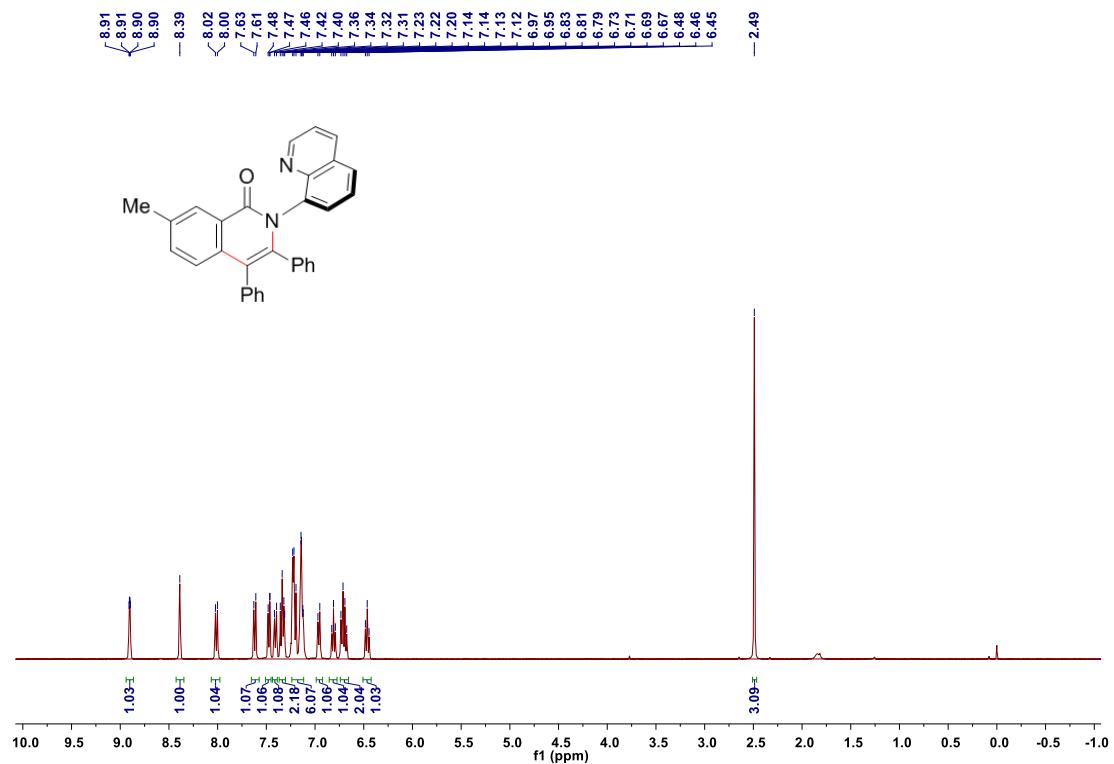
¹H NMR Spectrum of 3wa



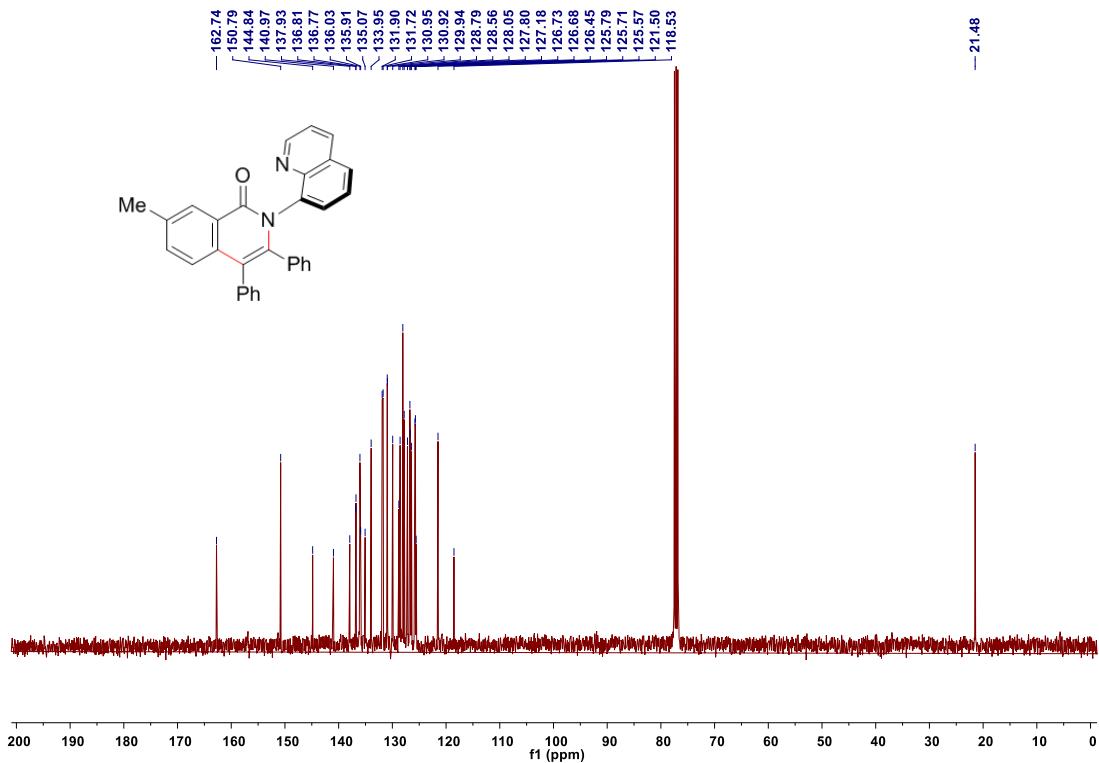
¹³C NMR Spectrum of 3wa



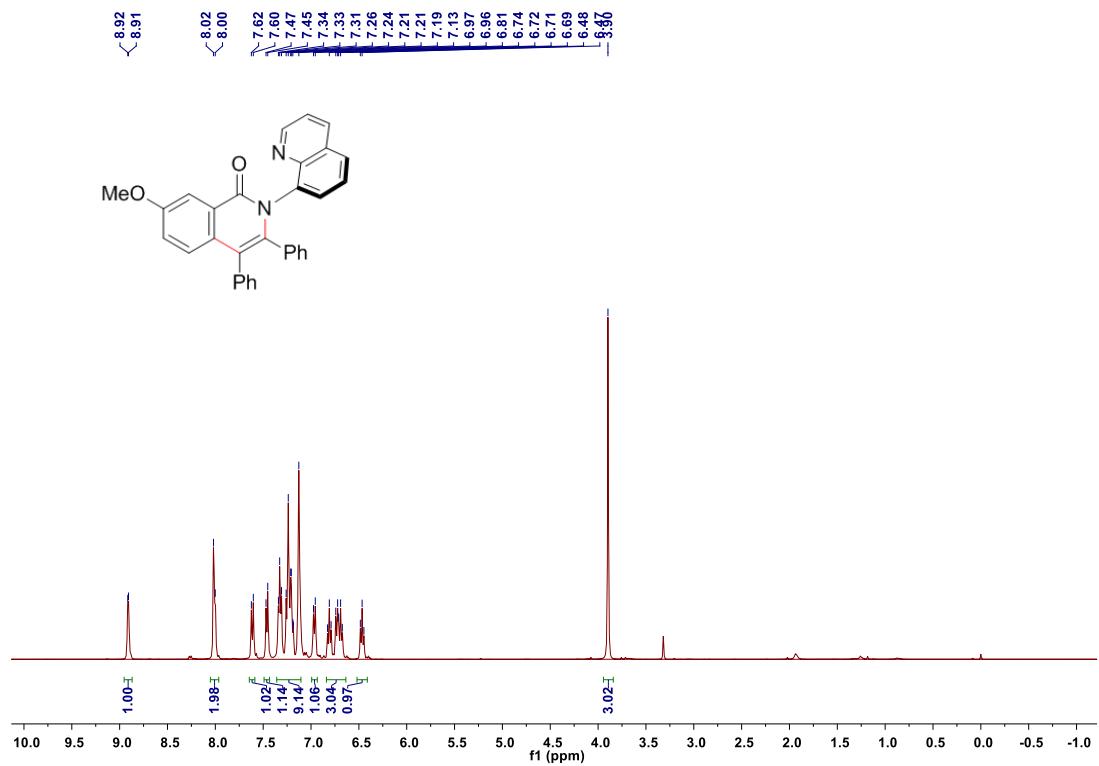
¹H NMR Spectrum of **3xa**



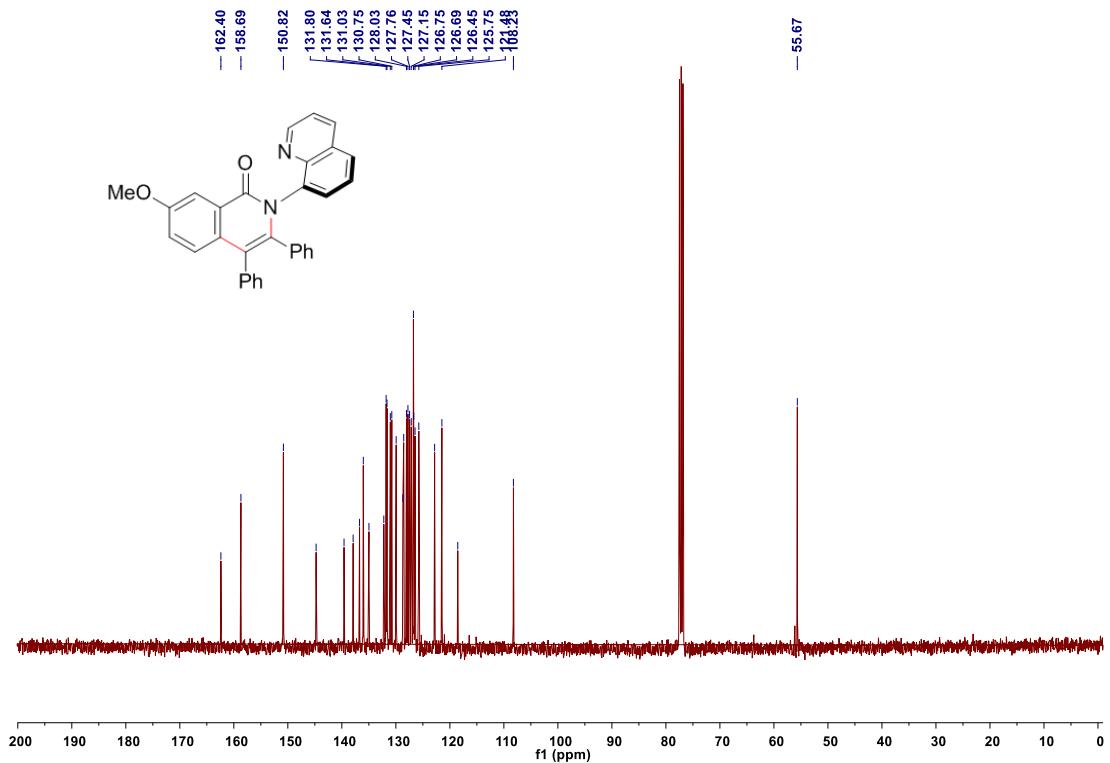
¹³C NMR Spectrum of **3xa**



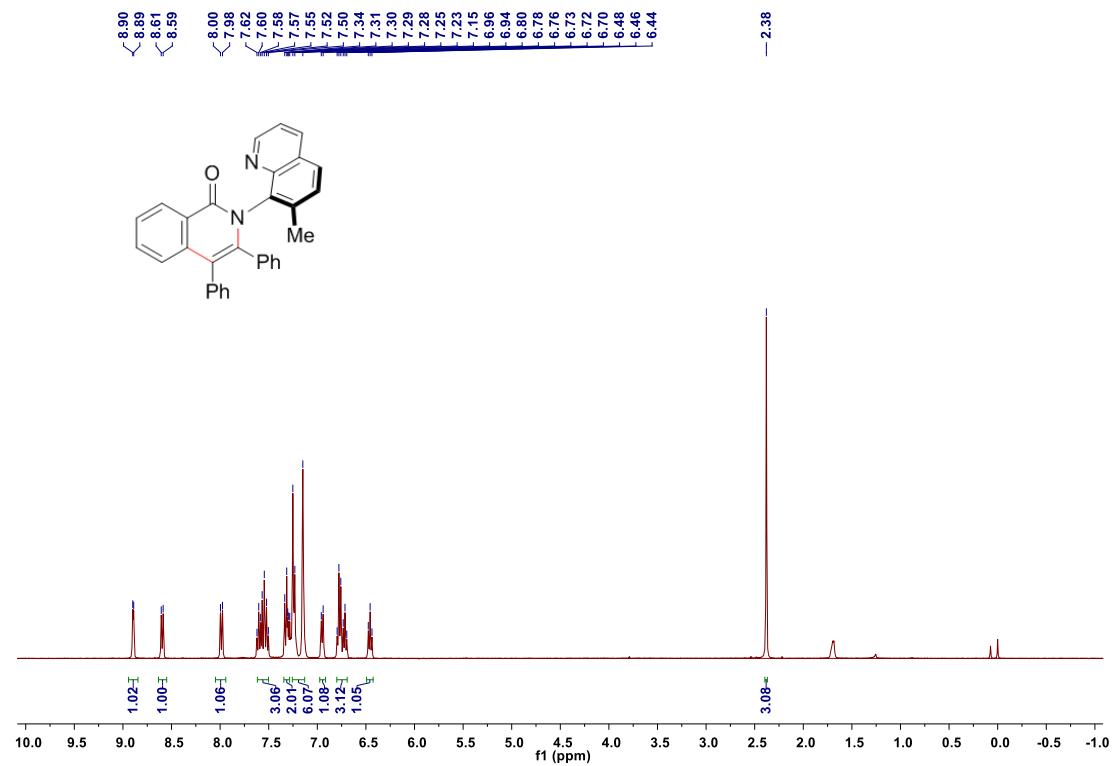
¹H NMR Spectrum of 3ya



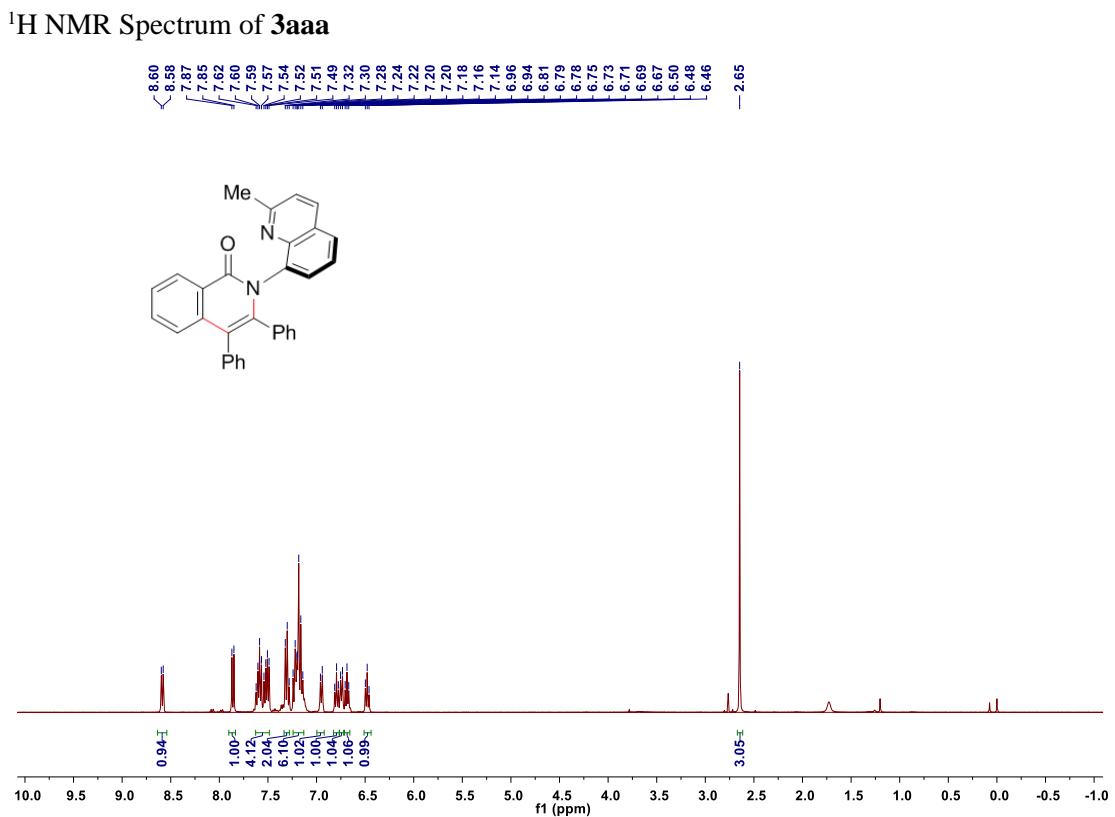
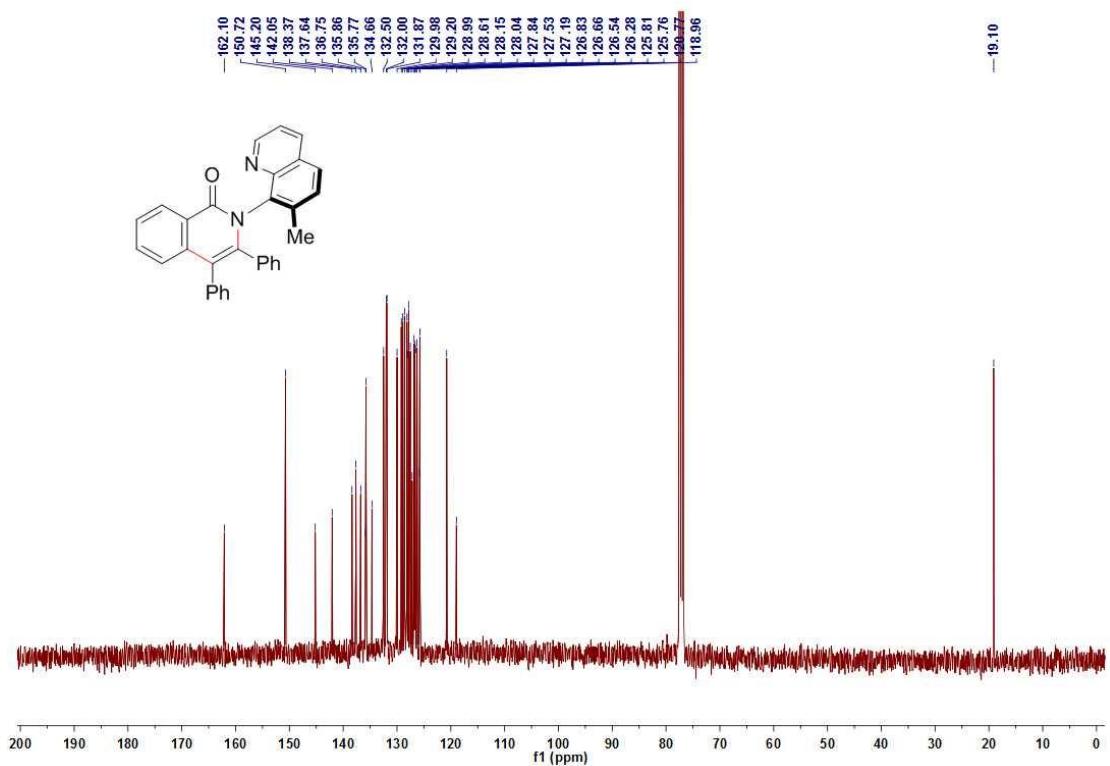
¹³C NMR Spectrum of 3ya



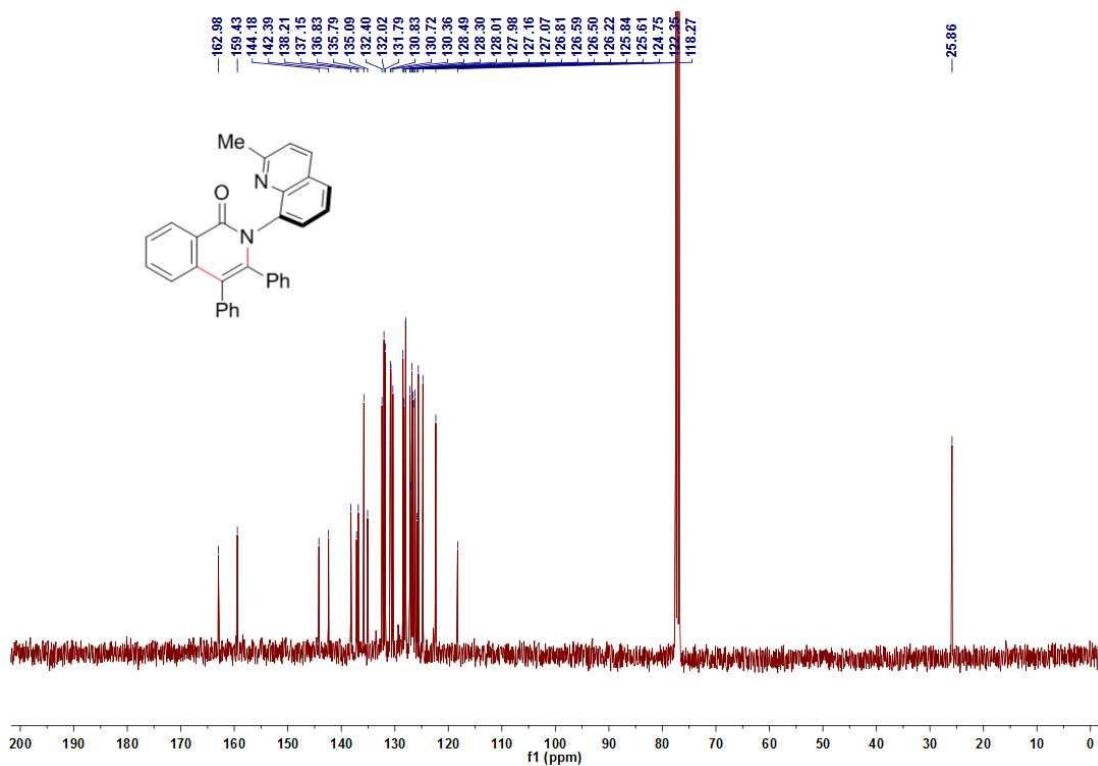
¹H NMR Spectrum of **3za**



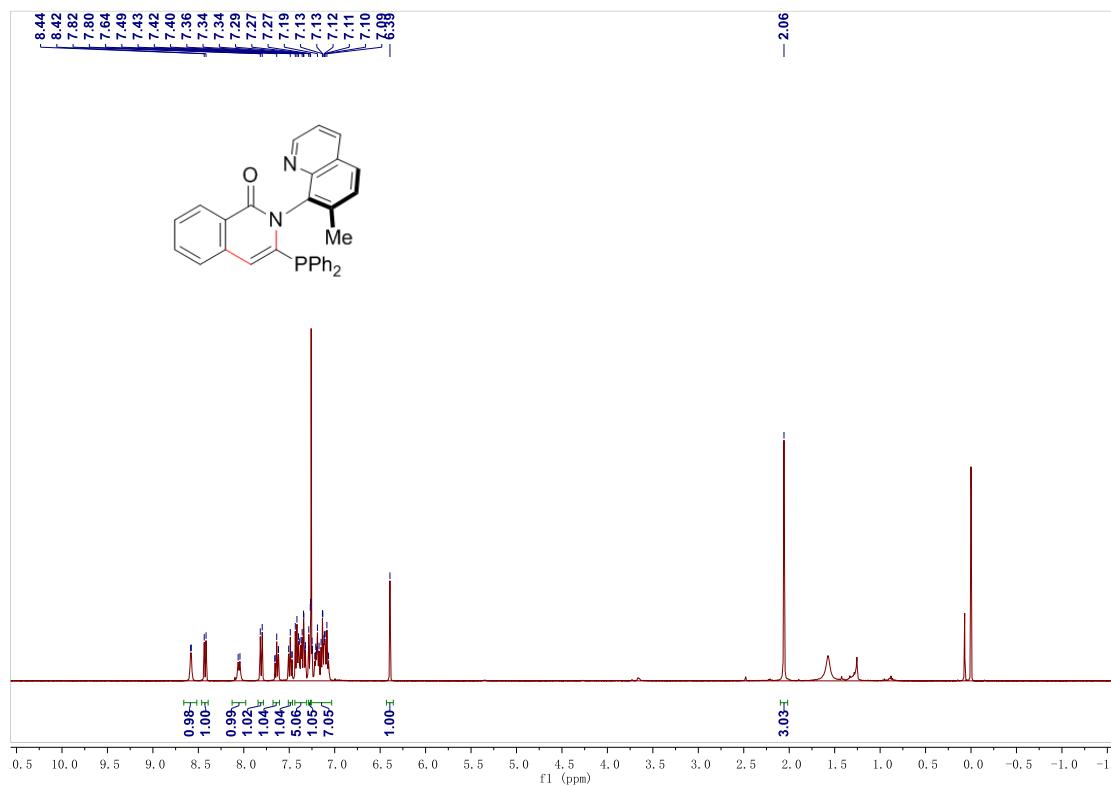
¹³C NMR Spectrum of **3za**



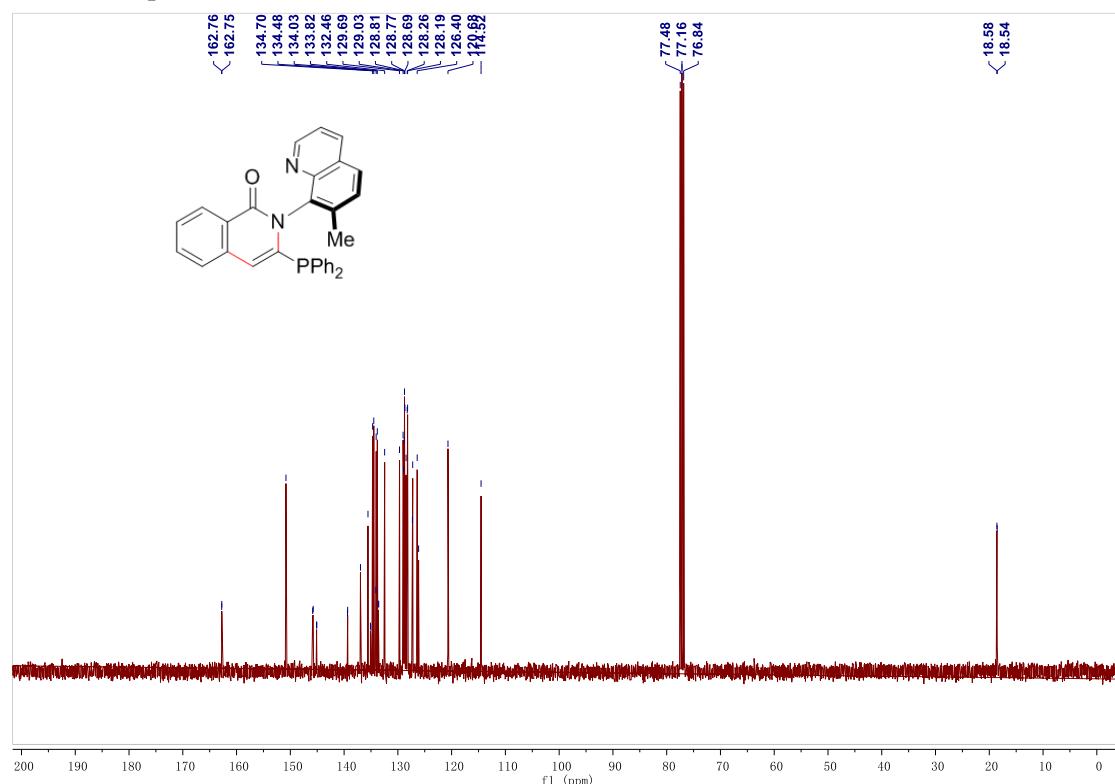
¹³C NMR Spectrum of 3aaa



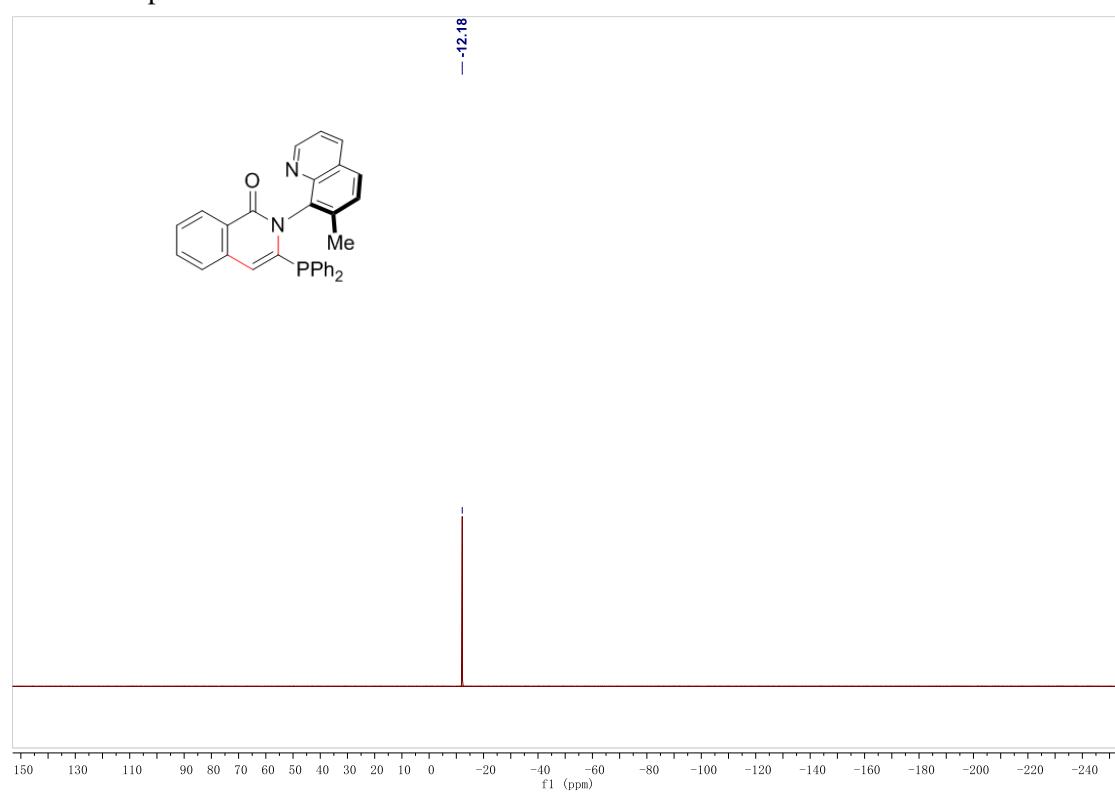
¹H NMR Spectrum of 4



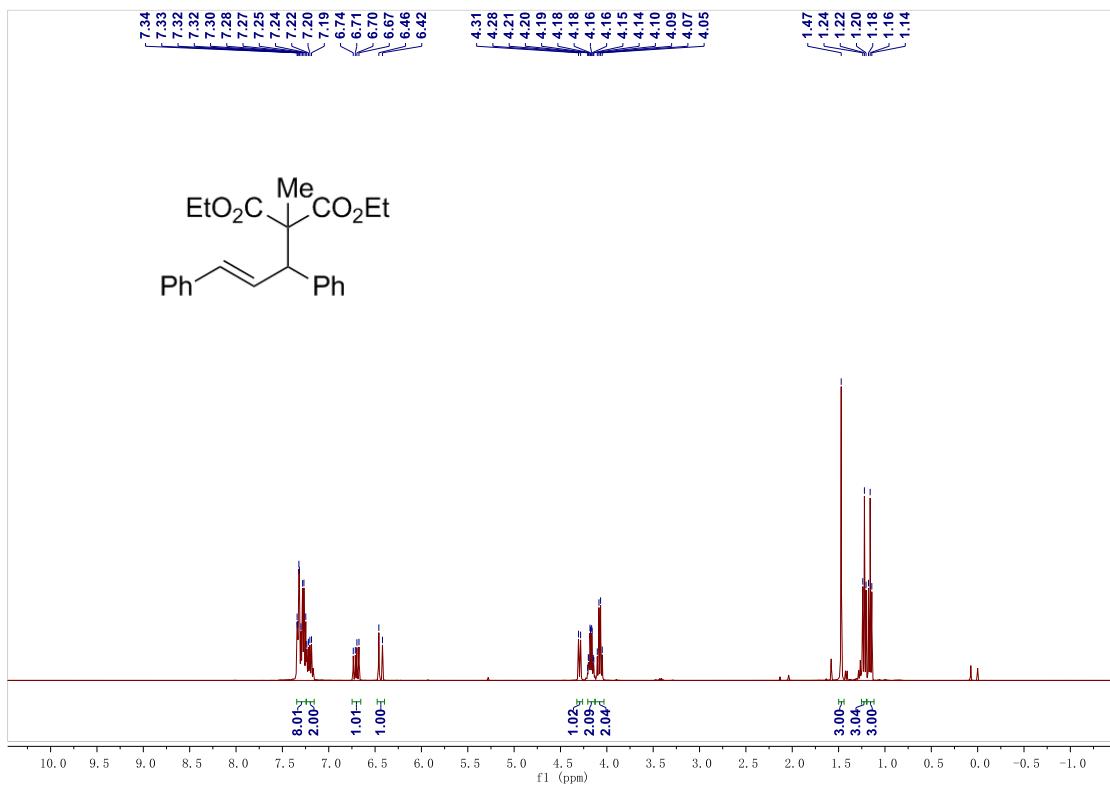
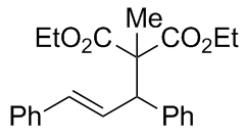
^{13}C NMR Spectrum of **4**



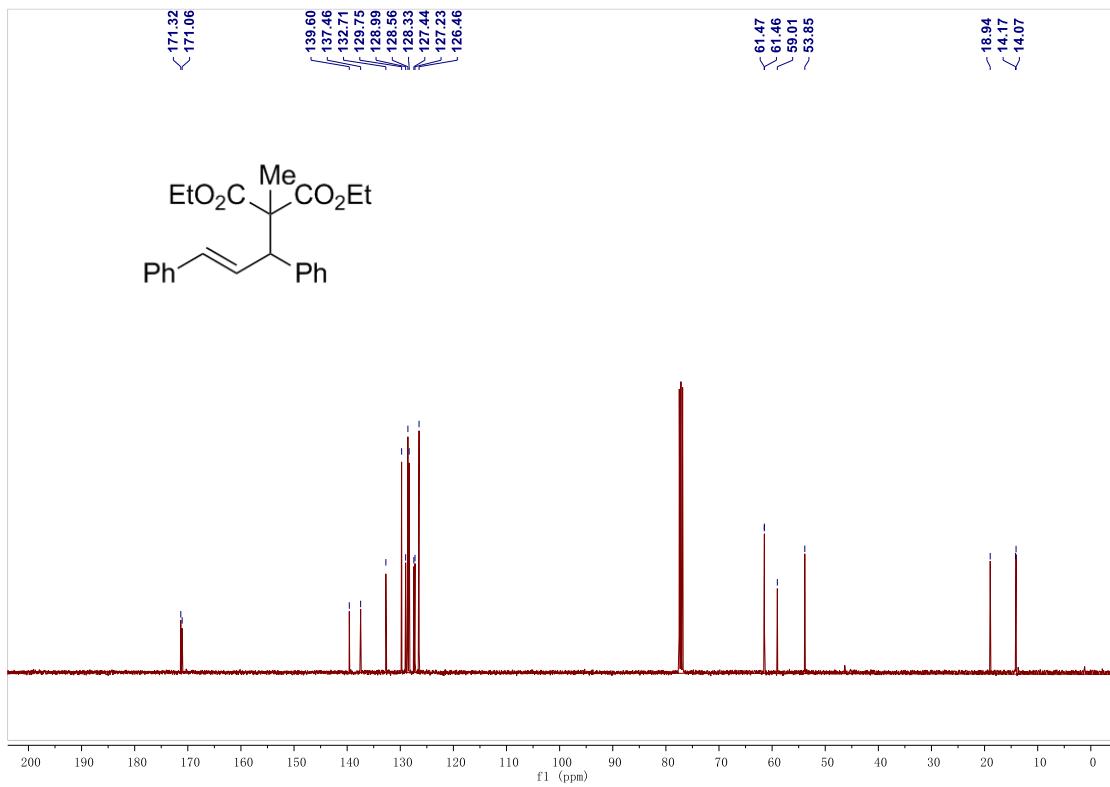
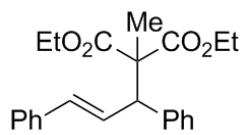
^{19}C NMR Spectrum of **4**



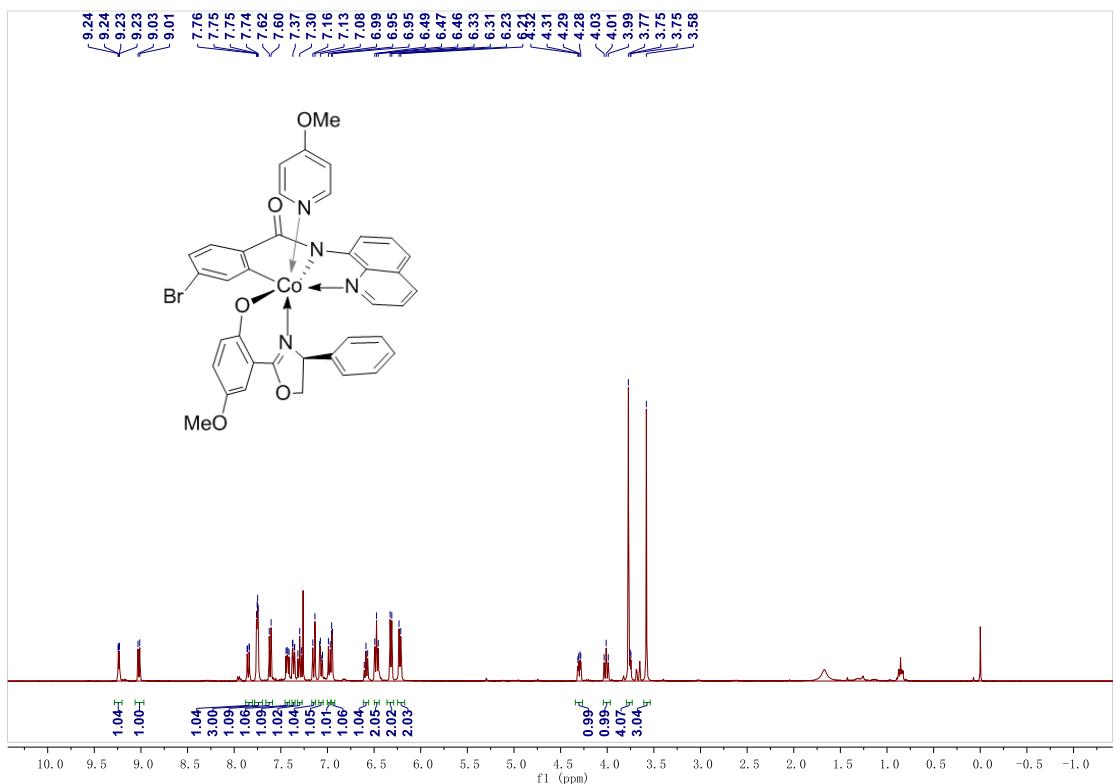
¹H NMR Spectrum of 7



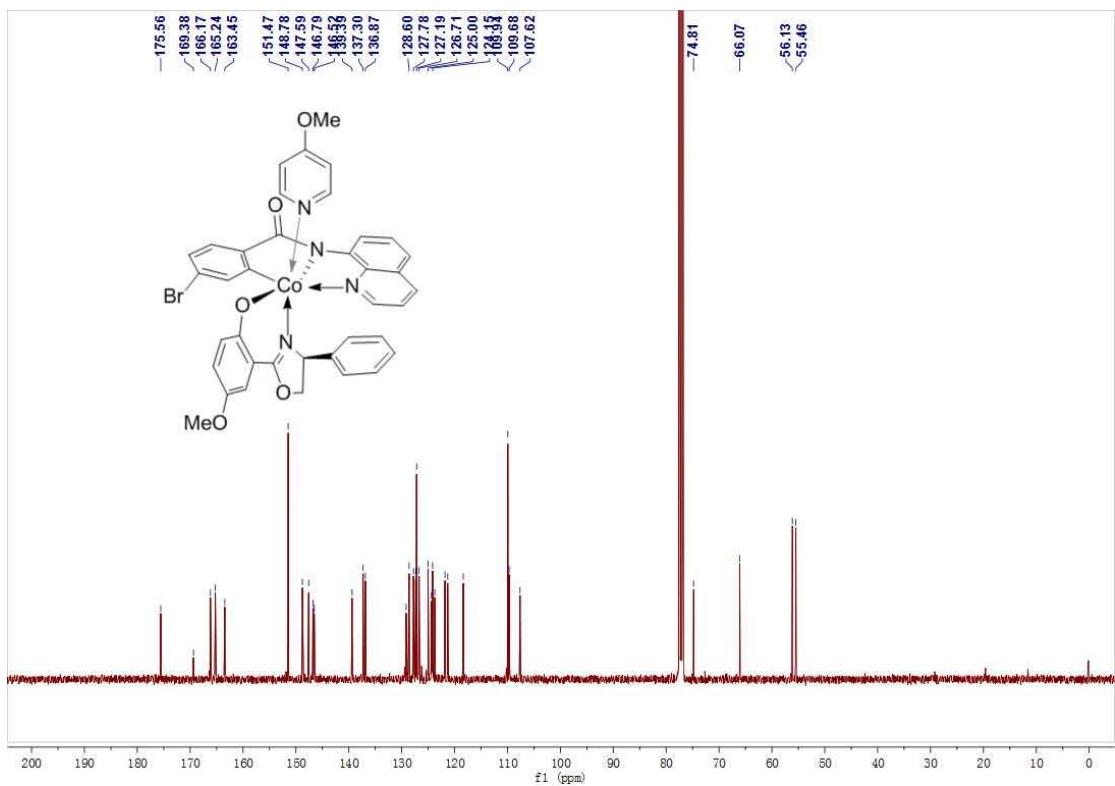
¹³C NMR Spectrum of 7



¹H NMR Spectrum of [Co]-1



¹³C NMR Spectrum of [Co]-1



9. Copies of HPLC Spectra

