Supporting Information

Synthesis of Acridones via Ir(III)-Catalyzed Amination Annulation of Oxazoles with Anthranils

Han-Yi Zhou, Lin Dong*

Key Laboratory of Drug-Targeting and Drug Delivery System of the Education Ministry and Sichuan Province, Sichuan Engineering Laboratory for Plant-Sourced Drug and Sichuan Research Center for Drug Precision Industrial Technology, West China School of Pharmacy, Sichuan University, Chengdu 610041, China
Email: dongl@scu.edu.cn

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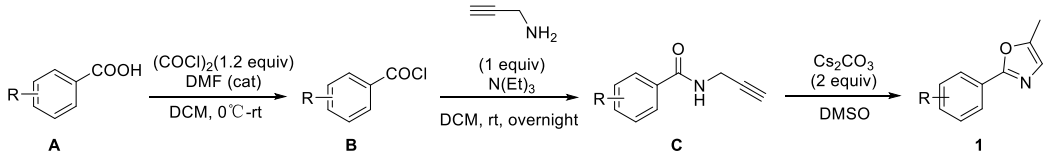
1. General Methods

All solvents were dried before use following the standard procedures. Unless otherwise indicated, all starting materials purchased from commercial suppliers were used without further purification. NMR data were obtained for 1H at 400 MHz, 19F NMR at 376 MHz, and for 13C at 100 or 151 MHz. Chemicl shifts were reported in ppm from tetramethylsilane with the solvent resonance as the internal standard in CDCl3 solution. NMR data are reported as follows: chemical shifts, multiplicity (s: singlet, d: doublet, dd: doublet of doublets, t: triplet, q: quartet, sep: septet, m: multiplet, br: broad signal), coupling constant (Hz), and integration. ESI HRMS was recorded on a Waters SYNAPT G2 and Water XEVO G2 Q-ToF. TLC was performed on glass-backed silica plates. UV detection was monitored at 254 nm. Column chromatography was performed on silica gel (300-400 mesh), eluting with ethyl acetate and petroleum ether. 3-phenyl-quinazolones and α,α-difluoromethylene alkynes were obtained according to the literature procedures.[1-2]

2. General Procedure for the Synthesis of Substrates

2.1 General procedure for the synthesis of 2-aryloxazoline (1a)

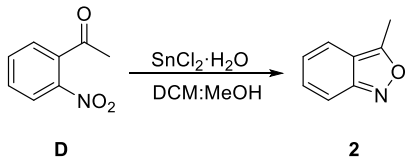


A 100 mL oven-dried round bottom flask with a stir bar was purged with argon and charged with benzoic acid **A** (5 mmol) in anhydrous CH_2Cl_2 (15 mL), which was added DMF (3 drop) at 0 °C and $(COCl)_2$ (1.2 equiv) was subsequently added dropwise by syringe. After 15 min, the reaction mixture was allowed to warm to room temperature overnight. After removal of the volatiles under vacuum, the crude product **B** was used directly without any further purification.[3]

To a solution of the propargylic amine (5.0 mmol) in anhydrous CH_2Cl_2 (15 mL) at 0 °C, Et_3N (1.5 equiv) and DMAP (2 mol%) were added, and the acid chloride (1.0 equiv) was added dropwise at 0 °C. After 15 min, the mixture was stirred overnight at room temperature overnight. After the reaction was completed, the mixture was quenched with water and extracted with CH_2Cl_2 (3 × 20 mL), the combined organic layer was washed with brine and then the combined organic layers were dried ($MgSO_4$), filtered and concentrated in vacuo. Purification of the residue by column chromatography (petroleum ether/ethyl acetate = 3/1, v/v) afforded the product **C**. [4]

A mixture of propargylamides C, Cs_2CO_3 (2 equiv), DMSO (10 mL), was heated at 100 °C for 2 h and cooled to room temperature. The mixture was quenched with water and extracted with EtOAc (3 × 20 mL), the combined organic layer was washed with brine and then the combined organic layers were dried ($MgSO_4$), filtered, and concentrated in vacuo. Purification of the residue by column chromatography (petroleum ether/ethyl acetate =10/1, v/v) afforded the product **1**. [5]

2.2 General procedure for the synthesis of substituted anthranils (2a)

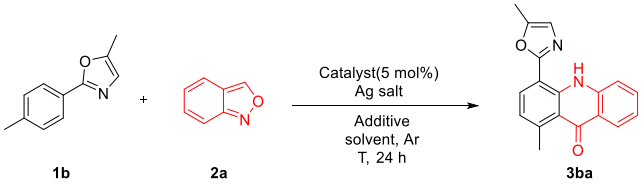


A round bottom flask equipped with a magnetic stirrer bar was charged with the substituted 2-nitroacylbenzene (3.00 mmol) **D** in EtOAc–MeOH (1:1; 20 mL). $SnCl_2 \cdot H_2O$ (9.00 mmol) was

added and the reaction was stirred at room temperature for 24 h. The reaction was quenched by saturated $NaHCO_3$ (20 ml), and filtered. The aqueous phase was extracted with EtOAc (3 × 10 mL) and the organic portions combined, washed with H_2O (20 mL), saturated aqueous NaCl (20 mL), dried over $NaSO_4$, filtered and reduced in vacuo. The residue was purified by column chromatography (SiO_2, hexanes/EtOAc) to provide the title compound **2**.[6]

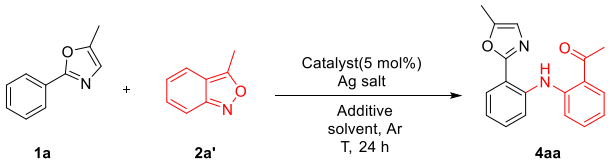
3. General Procedure for the Synthesis of Acridone Derivatives

General procedure for the synthesis of 3ba



5-methyl-2-phenyl-oxazole **1b** (17.3 mg, 0.1 mmol), anthranil **2a** (23.8 mg, 0.2 mmol), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), and $[Cp^*IrCl_2]_2$ (5 mol %), were stirred in $PhNO_2$: DCE = 4:1 (1.0 mL) under inert Ar atmosphere in preheated oil bath at 120 °C for 24 h. The reaction was then quenched with saturated $NaHCO_3$ solution (10 mL). The reaction was stirred for a while and extracted with EtOAc (3 * 10 mL), washed with brine and dried over anhydrous Na_2SO_4. The filtrate was concentrated and the residue was purified by flash chromatography (eluent: petroleum ether /EtOAc = 10:1, v/v) to give the product **3ba** as yellow solid (26.4 mg, 91%).

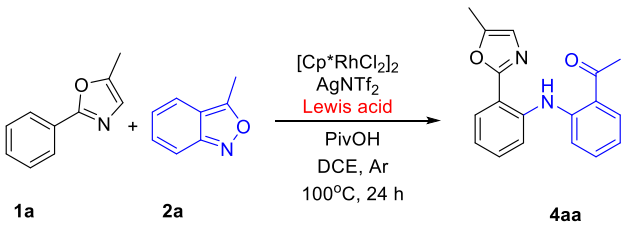
General procedure for the synthesis of 4aa



5-methyl-2-phenyl-oxazole **1a** (15.9 mg, 0.1 mmol), anthranil **2a'** (26.6 mg, 0.2 mmol), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), and $[Cp^*IrCl_2]_2$ (5 mol %), were stirred in $PhNO_2$: DCE = 4:1 (1.0 mL) under inert Ar atmosphere in preheated oil bath at 120 °C for 24 h. The reaction was then quenched with saturated $NaHCO_3$ solution (10 mL). The reaction was stirred for a while and extracted with EtOAc (3 * 10 mL), washed with brine and dried over anhydrous Na_2SO_4. The filtrate was concentrated and the residue was purified by flash chromatography (eluent: petroleum ether /EtOAc = 10:1, v/v) to give the product **4aa** as yellow solid (17.5 mg, 60%).

4. Optimization of reaction conditions

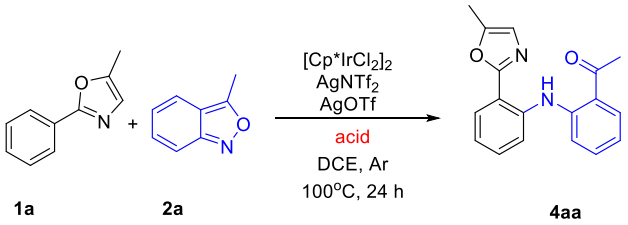
Table S1. The effect of Lewis acid[a]



Entry	Lewis acid	Yield (%) [b]
1	AgOTf	<10%
2	$Zn(OTf)_2$	Trace
3	$Cu(OTf)_2$	ND
4	$Sc(OTf)_3$	NR
5	$La(OTf)_3$	Trace

[a] Unless otherwise stated, reaction conditions are as follows: **1a** (0.05 mmol), **2a** (1.2 equiv), $[Cp^*RhCl_2]_2$ (5 mol%), $AgNTf_2$ (0.2 equiv.), **Lewis acid** (0.1 equiv.), PivOH (1.0 equiv.), DCE (0.5 mL), under Ar, 100 °C, 24 h. [b] Isolated yields.

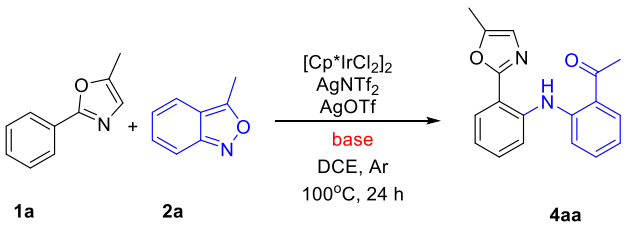
Table S2. The effect of acid[a]



Entry	Acid	Yield (%) [b]
1	1-AdCOOH	36%
2	AcOH	23%
3	PhCOOH	26%
4	TFA	NR
5	L-Serine	26%
6	2-Aminoisobutyric Acid	24%

[a] Unless otherwise stated, reaction conditions are as follows: **1a** (0.05 mmol), **2a** (2.0 equiv), $[Cp^*IrCl_2]_2$ (5 mol%), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), **acid** (1.0 equiv.), DCE (0.5 mL), under Ar, 120 °C, 24 h. [b] Isolated yields.

Table S3. The effect of base[a]

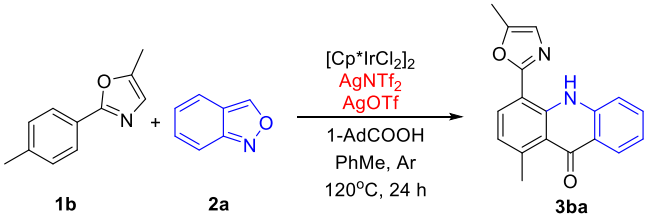


Entry	Base	Yield (%) [b]
1	$PivONa \cdot H_2O$	27%

2	NaOAc	14%
3	$Mn(OAc)_2 \cdot 2H_2O$	11%
4	K_2CO_3	NR
5	PhCOONa	14%

[a] Unless otherwise stated, reaction conditions are as follows: **1a** (0.05 mmol), **2a** (2.0 equiv), $[Cp^*IrCl_2]_2$ (5 mol%), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), **base** (2.5 equiv.), DCE (0.5 mL), under Ar, 120 °C, 24 h. [b] Isolated yields.

Table S4. The effect of silver salt[a]

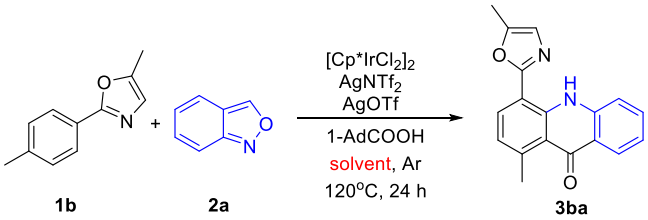


1b 2a 3ba

Entry	Silver salt	Yield (%) [b]
1	$AgNTf_2$ 0.2eq/AgOTf 0.1eq	40%
2	$AgNTf_2$ **0.5eq**/AgOTf 0.1eq	32%
3	$AgNTf_2$ **1.0eq**/AgOTf 0.1eq	30%
4	$AgNTf_2$ 0.2eq /AgOTf **0.5eq**	28%
5	$AgNTf_2$ 0.2eq /AgOTf **1.0eq**	25%

[a] Unless otherwise stated, reaction conditions are as follows: **1a** (0.05 mmol), **2a** (2.0 equiv), $[Cp^*IrCl_2]_2$ (5 mol%), **$AgNTf_2$ (x equiv.), AgOTf (y equiv.)**, 1-AdCOOH (5.0 equiv.), PhMe (0.5 mL), under Ar, 120 °C, 24 h. [b] Isolated yields.

Table S5. The effect of solvent[a]



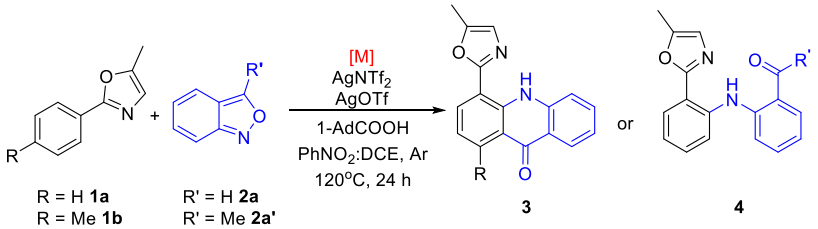
1b 2a 3ba

Entry	Solvent	Yield (%) [b]
1	PhMe	40
2	$PhNO_2$	62

3	DCE	76
4	DCM	69
5	$CHCl_3$	76
6	MeOH	ND
7	MeCN	20
8	$PhNO_2$: DCE (4:1)	90

[a] Unless otherwise stated, reaction conditions are as follows: **1a** (0.05 mmol), **2a** (2.0 equiv), $[Cp^*IrCl_2]_2$ (5 mol%), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), **solvent** (0.5 mL), under Ar, 120 °C, 24 h. [b] Isolated yields.

Table S6. The effect of transition metal catalyst[a]



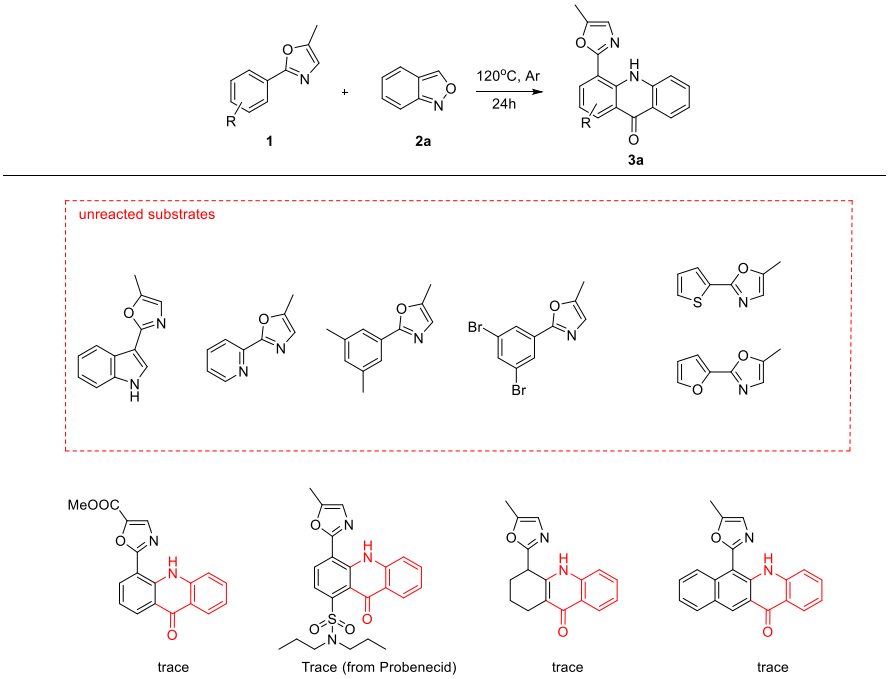
Entry	Catalyst	Yield (%) [b]	
		3ba[c]	**4aa**[d]
1[e]	$[IrCp^*Cl_2]_2$	25%	46%
2[e]	$[Ir(COD)Cl]_2$	NR	NR
3[e]	$[RuCl_2(p\text{-cymene})_2]_2$	ND	ND
4[e]	$[RhCp^*Cl_2]_2$	14%	30%
5[f]	$[IrCp^*Cl_2]_2$	35%	54%
6[f]	$[RhCp^*Cl_2]_2$	18%	23%
7	$[IrCp^*Cl_2]_2$	90%	60%
8	$[RhCp^*Cl_2]_2$	65%	35%

[a] Unless otherwise stated, reaction conditions are as follows: **1a** (0.05 mmol), **2a** (2.0 equiv), **[M]** (5 mol%), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), $PhNO_2$: DCE (4:1, 0.5 mL), under Ar, 120 °C, 24 h. [b] Isolated yields. [c] PhMe (0.5 mL). [d] DCE (0.5 mL). [e]1-AdCOOH (2.5 equiv.). [f] $AgNTf_2$ (0.1

equiv.), AgOTf (0.2 equiv.).

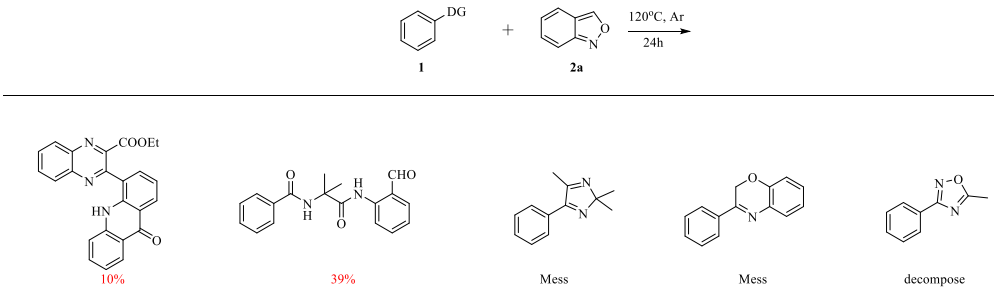
4. The Scope of Substrates

Table S7. The Substrate scope of 2-aryloxazoles



Reaction conditions: **1** (0.1 mmol), **2a** (2.0 equiv), $[Cp^*IrCl_2]_2$ (5 mol%), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), $PhNO_2$: DCE (4:1, 1.0 mL), under Ar, 120°C, 24 h, isolated yield.

Table S8. The Substrate scope of 1 with other directing groups



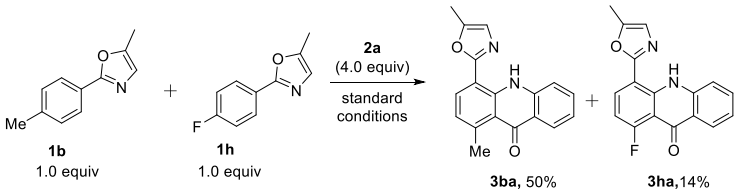
Reaction conditions: **1** (0.1 mmol), **2a** (2.0 equiv), $[Cp^*IrCl_2]_2$ (5 mol%), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), $PhNO_2$: DCE (4:1, 1.0 mL), under Ar, 120°C, 24 h, isolated yield.

5. Mechanistic Studies

(1) Procedure for competition experiment

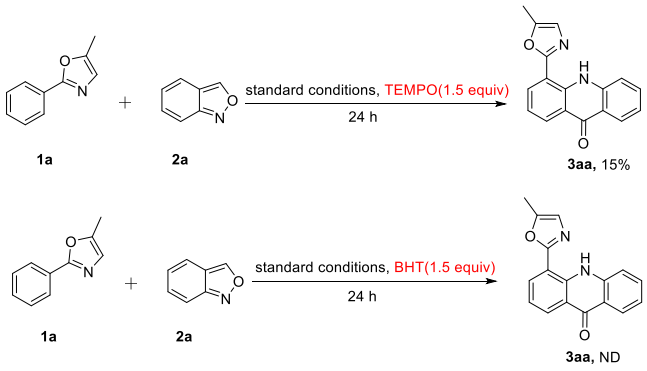
Representative procedure for competition between **1b** and **1h**: To a flame dried screw-cap tube equipped with magnetic stir bar were introduced 5-methyl-2-phenyl-oxazole **1b** (34.6 mg, 0.2

mmol), 5-methyl-2-phenyl-oxazole **1h** (35.4 mg, 1.0 equiv), anthranil **2a** (48.0 mg, 4.0 equiv), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), and $[Cp^*IrCl_2]_2$ (5 mol %) and $PhNO_2$: DCE = 4:1 (1.0 mL). The reaction mixture was stirred in preheated oil bath at 120 °C under Ar for 24 h. After completion, the reaction mixture was purified by flash chromatography eluting with ethyl acetate and petroleum ether (10:1) to give the product **3ba** (29 mg, 50%) and **3ha** (8 mg, 14%).



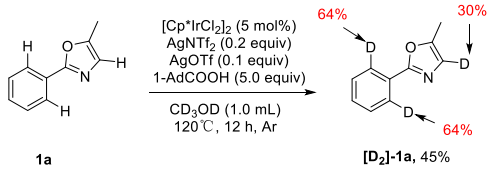
(2) Radical trap experiments

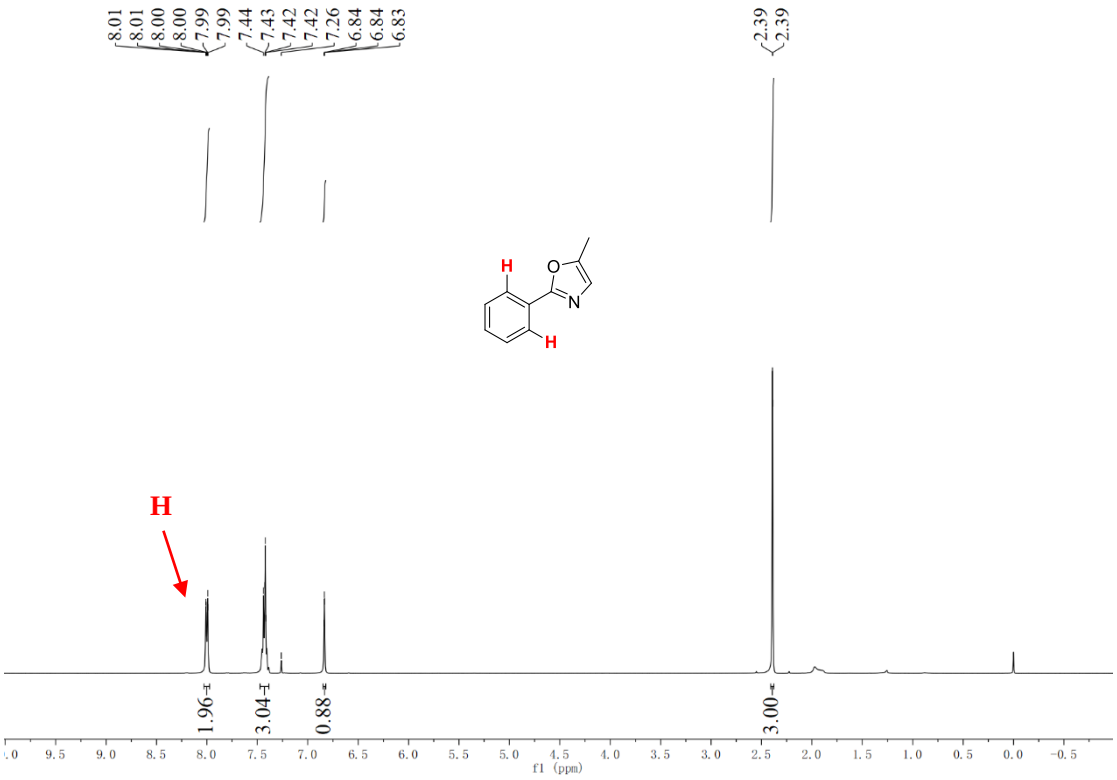
The free radical scavenging experiments were conducted with 2,2,6,6-tetramethyl-1-piperidinyloxy (TEMPO) or 2,6-Di-tert-butyl-p-methylphenol (BHT). **1a** (0.05 mmol), **2a** (2.0 equiv.) and TEMPO (12 mg, 1.5 equiv.) or BHT (16.6 mg, 1.5 equiv.) were stirred at 120 °C for 24 h under standard conditions. After completion, the reaction mixture was purified by flash chromatography eluting with ethyl acetate and petroleum ether (10:1) to give the product **3aa**. Obviously, either TEMPO or BHT suppressed the reaction which indicated the free radical process might occurred in this reaction.

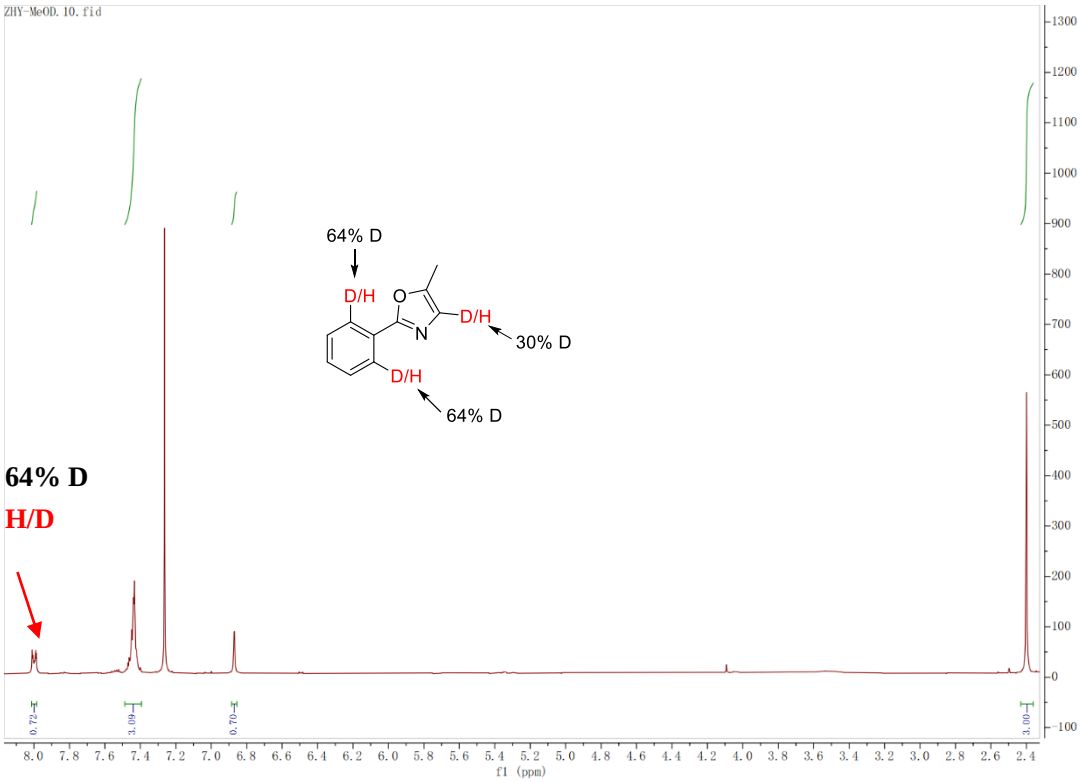


(3) Deuterium exchange experiments

Deuterium-labeling experiments were carried out to study the mechanism of this reaction. **1a** (0.2 mmol), $[Cp^*IrCl_2]_2$ (5 mol%), $AgNTf_2$ (0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.) were stirred in CH_3OD (1 mL) under inert Ar atmosphere at 120 °C for 12 h. After completion, the reaction was then quenched with saturated $NaHCO_3$ solution and extracted with EtOAc, washed with brine and dried over anhydrous Na_2SO_4. The filtrate was concentrated and the residue was purified by column chromatography (petroleum ether/ethyl acetate =10/1, v/v) to afford the products **1a** and **[D_2]-1a.** The deuterium rate (64%) was obtained from ^1H NMR. Deuterium was observed at both *ortho*-positions, which indicated the possibility of the reaction pathway via *ortho* C-H activation.



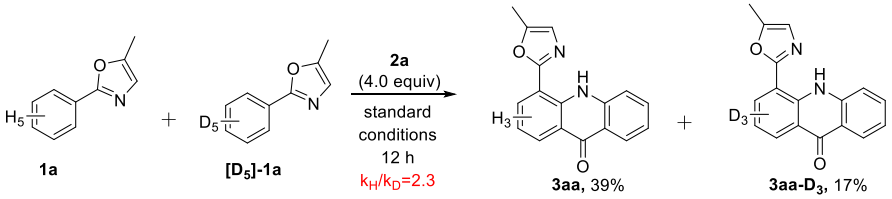


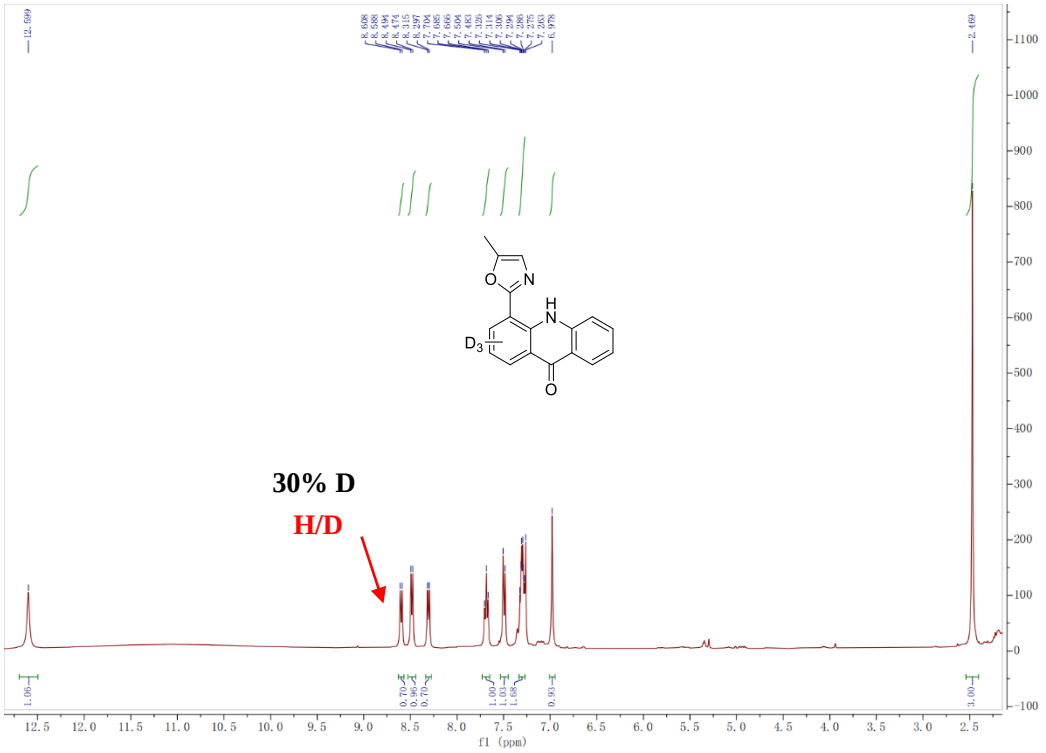


(4) Kinetic isotope effect (KIE) study

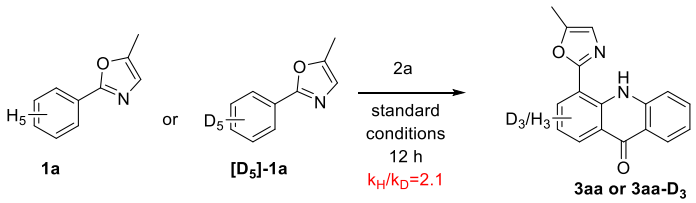
In addition, the kinetic isotope effect (KIE) study was conducted. **1a** (0.2 mmol), **[D_5]-1a** (0.2 mmol, 99% D), and **2a** (4.0 equiv) were stirred at 120 ºC for 12 h under standard conditions. After completion, the reaction mixture was purified by flash chromatography eluting with ethyl acetate

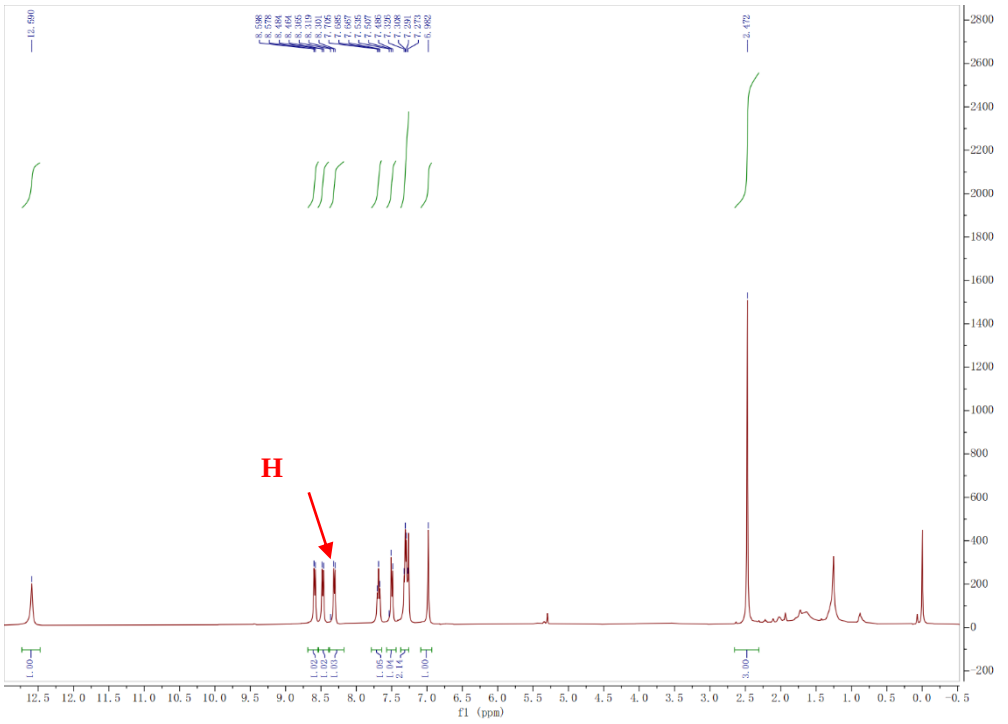
and petroleum ether (10:1) to give **3aa** and **[D]-3aa**. The ratio of two products was determined by ^{1}H NMR integration method to give intermolecular kinetic isotopic effect (KIE) k_H/k_D =2.3.

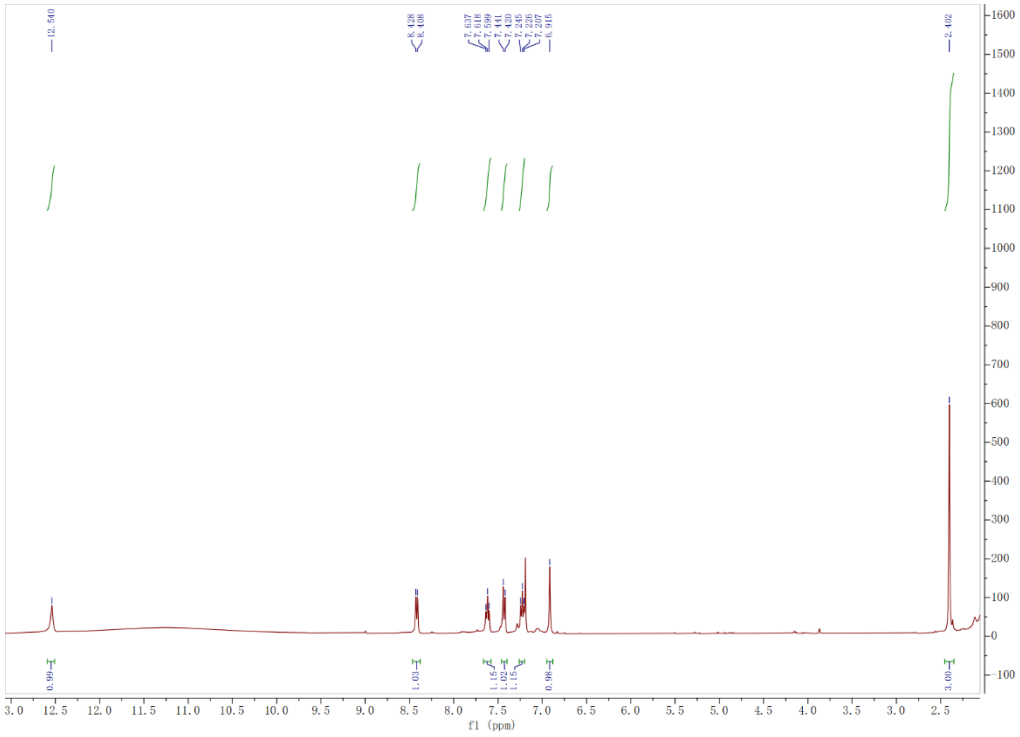




1a (0.2 mmol) or **[D$_5$]-1a** (0.2 mmol, 99% D) and **2a** (4.0 equiv) were stirred at 120 ºC for 12 h under standard conditions. After completion, the reaction mixture was purified by flash chromatography eluting with ethyl acetate and petroleum ether (10:1) to give **3aa** or **[D]-3aa**. The yield of two products was 42% (23 mg) and 20% (11 mg) to give intramolecular kinetic isotopic effect (KIE) k_H/k_D =2.1, thus indicating that the first C-H bond cleavage might be the product determining step.

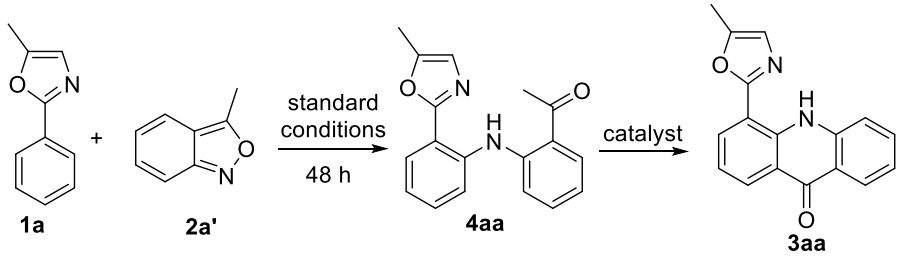






(5) Table S9. The transformation from 4aa to 3aa

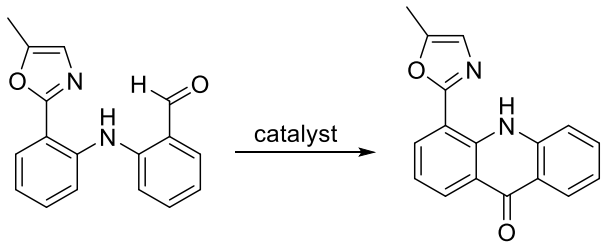
4aa was obtained under the standard conditions. **4aa** and **5** could converted to **3aa**[7] under different conditions, which demonstrated Ag salt play an important role in this cyclization and **5** might be the intermediate in this conversion.



1a **2a'** **4aa** **3aa**

Entry	catalyst	**3aa** yield (%)
1	standard conditions[a]	9%
2	standard conditions[a] without $[Cp^*IrCl_2]_2$, 1-AdCOOH	12%
3	standard conditions[a] without $[Cp^*IrCl_2]_2$, $AgNTf_2$, AgOTf	NR
4[12]	$In(OTf)_3$, DCE, 115°C	45%

[a]standard conditions: **1a** (0.05 mmol), **2a'** (2.0 equiv), $[Cp^*IrCl_2]_2$ (5mol%), $AgNTf_2$(0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), $PhNO_2$: DCE (4:1, 0.5 mL), under Ar, 120°C, 12 h,



5 **3aa**

Entry	catalyst	**3aa** yield (%)
1	standard conditions[a]	15%
2	standard conditions[a] without $[Cp^*IrCl_2]_2$, 1-AdCOOH	18%

[a]standard conditions:$[Cp^*IrCl_2]_2$ (5mol%), $AgNTf_2$(0.2 equiv.), AgOTf (0.1 equiv.), 1-AdCOOH (5.0 equiv.), $PhNO_2$: DCE (4:1, 0.5 mL), under Ar, 120°C, 12 h,

6. References

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6. Jin, H.; Huang, L.; Xie, J.; Rudolph, M.; Rominger, F.; Hashmi, A. S. K. Angew. Chem., Int. Ed., 2016, 55, 794-797.
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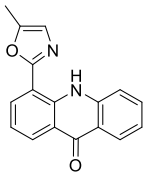
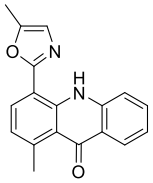
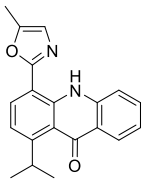
7. Characterization Data and NMR Spectra of Acridone Derivatives


3aa

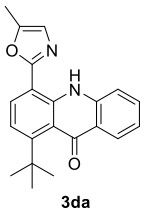
4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3aa**). 20.7 mg, 75% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.59 (s, 1H), 8.59 (d, *J* = 8.1 Hz, 1H), 8.47 (d, *J* = 8.2 Hz, 1H), 8.31 (d, *J* = 7.5 Hz, 1H), 7.69 (t, *J* = 7.7 Hz, 1H), 7.50 (d, *J* = 8.3 Hz, 1H), 7.30 (q, *J* = 7.1 Hz, 2H), 6.98 (s, 1H), 2.47 (s, 3H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 178.2, 159.3, 148.5, 140.5, 138.3, 133.6, 131.1, 129.7, 127.1, 123.3, 122.2, 122.0, 121.5, 120.2, 117.7, 112.7, 11.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{12}N_2O_2^+$ 277.0972; Found 277.0976.


3ba

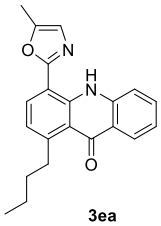
1-methyl-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ba**). 26.4 mg, 91% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.79 (s, 1H), 8.40 (d, *J* = 8.1 Hz, 1H), 8.13 (d, *J* = 7.9 Hz, 1H), 7.70 – 7.58 (m, 1H), 7.45 (d, *J* = 8.2 Hz, 1H), 7.26 (d, *J* = 7.8 Hz, 1H), 7.02 (d, *J* = 7.9 Hz, 1H), 6.95 (s, 1H), 3.02 (s, 3H), 2.46 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.9, 159.7, 155.4, 148.8, 138.0, 135.7, 131.2, 130.0, 125.3, 123.6, 120.3, 119.7, 113.0, 105.9, 27.4, 11.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{15}N_2O_2^+$ 291.1128; Found 291.1123.


3ca

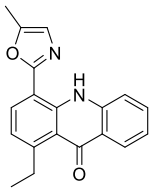
1-isopropyl-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ca).** 30.5 mg, 96% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.79 (s, 1H), 8.40 (d, *J* = 8.1 Hz, 1H), 8.13 (d, *J* = 7.9 Hz, 1H), 7.70 – 7.58 (m, 1H), 7.45 (d, *J* = 8.2 Hz, 1H), 7.26 (d, *J* = 7.8 Hz, 1H), 7.02 (d, *J* = 7.9 Hz, 1H), 6.95 (s, 1H), 2.92 (t, 1H), 2.51 (s, 3H), 1.26 (d, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 175.6, 157.4, 153.1, 146.5, 135.7, 133.3, 128.9, 127.7, 123.0, 121.2, 117.9, 117.4, 110.7, 103.6, 27.7, 25.1, 24.5, 9.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{20}H_{19}N_2O_2^+$ 319.1441; Found 319.1446.


3da

1-(tert-butyl)-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3da**). 31.5 mg, 95% yield; Yellow soild; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.79 (s, 1H), 8.40 (d, *J* = 8.1 Hz, 1H), 8.13 (d, *J* = 7.9 Hz, 1H), 7.70 – 7.58 (m, 1H), 7.45 (d, *J* = 8.2 Hz, 1H), 7.26 (d, *J* = 7.8 Hz, 1H), 7.02 (d, *J* = 7.9 Hz, 1H), 6.95 (s, 1H), 2.46 (s, 3H), 1.35 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.9, 159.7, 155.4, 148.8, 138.0, 135.7, 131.2, 130.0, 125.3, 123.6, 120.3, 119.7, 113.0, 105.9, 33.7, 32.1, 30.0, 27.4, 11.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{21}H_{21}N_2O_2^+$ 333.1598; Found 333.1594.

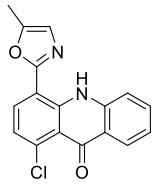

3ea

1-butyl-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ea**). 31.5 mg, 86% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.42 (s, 1H), 8.51 (d, *J* = 8.1 Hz, 1H), 8.31 (t, *J* = 7.9 Hz, 1H), 8.23 (d, *J* = 7.5 Hz, 1H), 7.21 (d, *J* = 6.1 Hz, 2H), 7.06 (d, *J* = 8.1 Hz, 1H), 6.92 (s, 1H), 2.70 (t, *J* = 7.8 Hz, 2H), 2.40 (s, 3H), 1.64 (t, *J* = 7.7 Hz, 2H), 1.37 -1.31 (m, 2H), 0.90 (t, *J* = 7.4 Hz, 3H).^{13}C NMR (101 MHz, $CDCl_3$) δ 178.2, 159.7, 149.9, 148.8, 141.0, 138.6, 131.2, 130.0, 127.3, 123.6, 122.6, 120.4, 120.1, 116.9, 112.9, 36.4, 33.5, 22.8, 14.3, 11.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{21}H_{21}N_2O_2^+$ 333.1598; Found 333.1592.



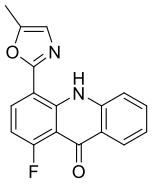
3fa

1-ethyl-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3fa**). 27.4 mg, 90% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.79 (s, 1H), 8.40 (d, *J* = 8.1 Hz, 1H), 8.13 (d, *J* = 7.9 Hz, 1H), 7.70 – 7.58 (m, 1H), 7.45 (d, *J* = 8.2 Hz, 1H), 7.26 (d, *J* = 7.8 Hz, 1H), 7.02 (d, *J* = 7.9 Hz, 1H), 6.95 (s, 1H), 3.02 (s, 2H), 2.46 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.3, 158.1, 153.8, 147.2, 136.3, 134.0, 129.5, 128.4, 123.6, 121.9, 118.6, 118.1, 111.3, 104.3, 28.4, 25.8, 9.7. (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{19}H_{17}N_2O_2^+$ 305.1285; Found 305.1289.



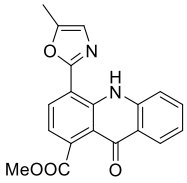
3ga

1-chloro-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ga**). 17.0 mg, 55% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.79 (s, 1H), 8.40 (d, *J* = 8.1 Hz, 1H), 8.13 (d, *J* = 7.9 Hz, 1H), 7.70 – 7.58 (m, 1H), 7.45 (d, *J* = 8.2 Hz, 1H), 7.26 (d, *J* = 7.8 Hz, 1H), 7.02 (d, *J* = 7.9 Hz, 1H), 7.02 (s, 1H), 2.46 (s, 3H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 172.5, 156.2, 155.0, 148.9, 146.5, 136.4, 134.9, 133.6, 132.7, 130.8, 128.37, 128.30, 128.1, 128.0, 127.9, 127.8, 127.6, 127.3, 127.2, 127.0, 126.0, 122.4, 122.2, 120.0, 119.4, 11.7. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H12ClN_2O_2^+$ 311.0582; Found 311.0586.



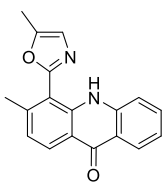
3ha

1-fluoro-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ha**). 19.7mg, 67% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.30 (d, *J* = 9.4 Hz, 1H), 8.43 (dd, *J* = 8.0, 1.5 Hz, 1H), 7.85 (ddd, *J* = 8.4, 6.9, 1.5 Hz, 1H), 7.78 (dd, *J* = 8.3, 1.1 Hz, 1H), 7.59 – 7.50 (m, 5H), 7.29 – 7.26 (m, 2H), 7.21 – 7.13 (m, 3H), 7.10 (dd, *J* = 8.0, 1.7 Hz, 2H), 6.98 (d, *J* = 2.5 Hz, 1H), 3.14 – 3.00 (m, 2H), 2.83 – 2.76 (m, 2H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 162.6, 145.2, 145.1, 144.0, 140.5, 136.4, 134.9, 133.1, 131.6, 129.8, 128.36, 128.30, 128.2, 128.1, 128.0, 127.9, 127.8, 127.28, 127.24, 126.9, 126.0, 124.1, 122.4, 122.1, 120.8, 120.0, δ 39.99 (t, *J* = 24.4 Hz), 28.8, 28.7. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -105.45 (s, *J* = 17.1 Hz). HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{12}FN_2O_2^+$ 295.0877; Found 295.0873.



3ia

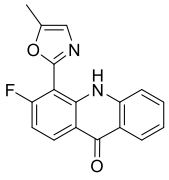
ethyl 4-(5-methyloxazol-2-yl)-9-oxo-9,10-dihydroacridine-1-carboxylate (**3ia**). 22.6 mg, 65% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.72 (s, 1H), 8.35 (d, *J* = 8.2 Hz, 1H), 8.26 (d, *J* = 7.7 Hz, 1H), 7.63 (t, *J* = 7.7 Hz, 1H), 7.44 (d, *J* = 8.2 Hz, 1H), 7.30 – 7.21 (m, 2H), 6.96 (s, 1H), 4.02 (d, *J* = 4.6 Hz, 2H), 2.42 (s, 3H), 1.26 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.83, 170.75, 162.60, 149.99, 147.97, 144.40, 135.97, 131.79, 131.45, 125.65, 123.29, 119.79, 115.95, 112.51, 62.62, 14.22, 11.12. ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.8, 170.8, 162.6, 150.0, 148.0, 144.4, 136.0, 131.8, 131.5, 125.7, 123.3, 119.8, 116.0, 112.5, 62.6, 14.2, 11.1. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{19}H_{15}N_2O_4^+$ 335.1026; Found 335.1023.



3ja

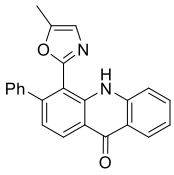
3-methyl-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ja**). 14.5 mg, 50% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.46 (s, 1H), 8.46 (d, *J* = 9.6 Hz, 1H), 8.37 (d, *J* = 2.1 Hz, 1H), 8.12 (d, *J* = 2.1 Hz, 1H), 7.69 – 7.62 (m, 1H), 7.46 (d, *J* = 8.3 Hz, 1H), 7.27 (d, *J* = 10.0 Hz, 1H), 6.95 (s, 1H), 2.48 (d, *J* = 20.3 Hz, 6H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 176.6, 156.7, 145.9, 145.3, 144.2, 140.6, 137.2, 134.5, 128.6, 128.3,

128.2, 128.0, 127.9, 127.7, 127.15, 127.12, 126.9, 126.5, 126.0, 124.3, 122.7, 122.2, 119.9, 116.2, 112.2, 27.3, 11.2, δ 40.08 (t, *J* = 24.6 Hz), 10.82. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{15}N_2O_2^+$ 291.1128; Found 291.1125.



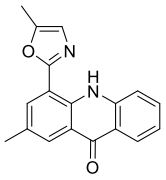
3ka

3-fluoro-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ka**). 18.2 mg, 62% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 13.01 (s, 1H), 8.62 – 8.54 (m, 1H), 8.45 (d, *J* = 7.9 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.49 (d, *J* = 8.2 Hz, 1H), 7.31 (t, *J* = 7.7 Hz, 2H), 7.04 (s, 1H), 2.50 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.4, 161.2, 148.1, 139.61, 139.0, 133.3, 132.6, 130.8, 130.6, 126.8, 126.0, 121.5, 121.4, 120.5, 117.7, 116.7, 109.1, 108.8, 10.1. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -100.79. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{12}FN_2O_2^+$ 295.0877; Found 295.0874.



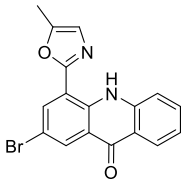
3la

4-(5-methyloxazol-2-yl)-3-phenylacridin-9(10H)-one (**3la**). 11.3 mg, 32% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.61 (d, *J* = 8.4 Hz, 1H), 8.47 (t, *J* = 8.5 Hz, 1H), 7.72 – 7.65 (m, 1H), 7.51 (dd, *J* = 22.8, 10.0 Hz, 3H), 7.41 – 7.28 (m, 5H), 6.92 (s, 1H), 1.99 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.3, 158.6, 148.4, 141.0, 138.8, 133.4, 128.8, 128.2, 127.6, 126.6, 122.7, 121.4, 120.9, 120.0, 117.1, 111.9, 11.4. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{23}H_{17}N_2O_2^+$ 353.1285; Found 353.1283.



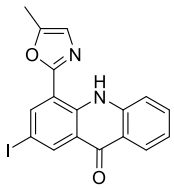
3ma

2-methyl-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ma**). 22.9 mg, 79% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.46 (s, 1H), 8.47 (d, *J* = 8.1 Hz, 1H), 8.38 (s, 1H), 8.14 (d, *J* = 2.3 Hz, 1H), 7.66 (t, *J* = 7.4 Hz, 1H), 7.47 (d, *J* = 8.4 Hz, 1H), 7.28 (d, *J* = 7.2 Hz, 1H), 6.97 (s, 1H), 2.49 (d, *J* = 20.9 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.1, 158.4, 147.4, 139.4, 135.5, 133.3, 132.4, 131.3, 128.9, 128.2, 126.1, 122.3, 121.2, 120.7, 120.4, 116.6, 111.6, 19.9, 10.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{15}N_2O_2^+$ 291.1128; Found 291.1126.



3na

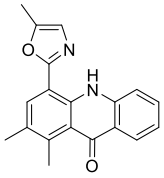
2-bromo-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3na**). 21.2 mg, 60% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.77 (s, 1H), 8.41 (dd, *J* = 20.2, 8.3 Hz, 2H), 7.68 (t, *J* = 7.8 Hz, 1H), 7.57 (d, *J* = 8.7 Hz, 1H), 7.44 (d, *J* = 8.3 Hz, 1H), 7.30 (t, *J* = 7.4 Hz, 1H), 7.09 (s, 1H), 2.52 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 178.8, 159.9, 149.2, 141.1, 138.9, 134.3, 131.7, 130.3, 127.7, 123.9, 122.8, 122.6, 122.2, 120.9, 118.4, 113.4, 11.6. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{12}BrN_2O_2^+$ 355.0077; Found 355.0072.



3oa

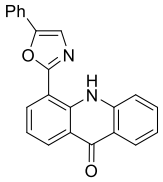
2-iodo-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3oa**). 14.0 mg, 35% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.51 (s, 1H), 8.53 (s, 1H), 8.45 (d, *J* = 8.2 Hz, 1H), 8.26 (s, 1H), 7.70 (d, *J* = 16.0 Hz, 1H), 7.49 (d, *J* = 8.5 Hz, 1H), 7.43-7.28 (m, 2H), 7.02 (s, 1H), 2.54 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 181.4, 161.6, 153.6, 148.9, 144.1, 142.2, 138.2, 133.1, 126.0, 124.2, 119.5, 116.0, 111.2, 106.6, 12.0. HRMS (ESI-TOF) m/z:

$[M + H]^+$ Calcd for $C_{17}H_{12}IN_2O_2^+$ 402.9938; Found 402.9933.



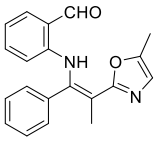
3sa

1,2-dimethyl-4-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3sa**). 10.9 mg, 36% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.32 (s, 1H), 8.76 (d, *J* = 8.4 Hz, 1H), 7.90 (d, *J* = 8.0 Hz, 1H), 7.58 (d, *J* = 7.1 Hz, 2H), 7.46 (d, *J* = 5.0 Hz, 1H), 7.07 (d, *J* = 5.3 Hz, 1H), 2.63 (s, 3H), 1.82 (s, 6H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 177.5, 158.5, 156.8, 149.9, 147.3, 143.4, 139.4, 135.4, 133.6, 127.7, 127.3, 127.3, 127.0, 126.9, 126.5, 126.3, 125.9, 125.8, 125.7, 125.6, 125.0, 123.3, 122.4, 120.0, 119.9, 118.7, 117.0, 114.9, δ 32.6, 30.4, 12.6. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{19}H_{17}N_2O_2^+$ 305.1285; Found 305.1282.



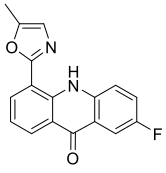
3ta

4-(5-phenyloxazol-2-yl)acridin-9(10H)-one (**3ta**). 11.1 mg, 33% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.75 (d, *J* = 1.8 Hz, 1H), 8.41 (dd, *J* = 8.1, 1.4 Hz, 1H), 7.82 (ddd, *J* = 8.5, 7.0, 1.5 Hz, 1H), 7.74 (d, *J* = 7.6 Hz, 1H), 7.55 – 7.49 (m, 1H), 7.46 – 7.40 (m, 3H), 7.34 – 7.29 (m, 2H), 7.25 – 7.21 (m, 2H), 7.20 – 7.13 (m, 3H), 6.94 (d, *J* = 1.7 Hz, 1H), 3.15 – 3.00 (m, 2H), 2.85 – 2.75 (m, 2H), 2.44 (s, 3H), 1.61 (s, 3H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 177.6, 155.2, 154.4, 143.6, 139.8, 138.48, 138.42, 137.2, 134.3, 133.6, 131.7, 128.0, 127.3, 127.2, 126.9, 126.6, 126.1, 125.9, 125.2, 125.1, 124.9, 123.4, 121.8, 120.4, 119.2, 117.8. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{22}H_{15}N_2O_2^+$ 339.1128; Found 339.1132.



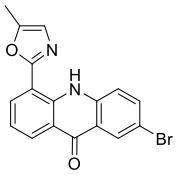
3ua

(Z)-2-((2-(5-methyloxazol-2-yl)-1-phenylprop-1-en-1-yl)amino)benzaldehyde (**3ua**). 6.4 mg, 20% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.23 (s, 1H), 8.42 (d, *J* = 8.1 Hz, 1H), 7.82 (d, *J* = 6.4 Hz, 2H), 7.50 (t, *J* = 7.6 Hz, 1H), 7.39 (d, *J* = 6.6 Hz, 3H), 7.28 (s, 1H), 7.18 (dt, *J* = 11.5, 6.8 Hz, 4H), 7.00 (s, 1H), 6.94 (d, *J* = 7.2 Hz, 2H), 4.68 (dt, *J* = 35.1, 7.7 Hz, 1H), 3.40 (d, *J* = 7.7 Hz, 2H), 2.46 (s, 3H), 1.75 (s, 3H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 178.0, 157.9, 150.2, 147.0, 145.8, 145.5, 138.8, 138.4, 138.3, 136.3, 134.6, 133.4, 131.3, 127.8, 127.4, 127.2, 127.1, 127.0, 126.7, 126.2, 126.1, 125.0, 124.7, 119.7, 119.0, 118.9, 110.5, 110.4, 23.3, 10.7. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{20}H_{19}N_2O_2^+$ 319.1441; Found 319.1445.



3ab

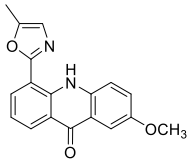
2-fluoro-5-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ab**). 19.1 mg, 65% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.62 (s, 1H), 8.55 (d, *J* = 8.1 Hz, 1H), 8.51 – 8.43 (m, 1H), 8.29 (d, *J* = 7.5 Hz, 1H), 7.31 (t, *J* = 7.8 Hz, 1H), 7.13 (d, *J* = 9.8 Hz, 1H), 6.98 (d, *J* = 12.0 Hz, 2H), 2.51 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.3, 159.2, 150.4, 148.7, 142.1, 142.0, 138.5, 131.1, 130.4, 130.3, 129.6, 129.1, 124.5, 123.3, 120.7, 118.4, 112.7, 111.2, 111.0, 103.1, 102.9, 11.0. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -103.24. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{17}H_{12}FN_2O_2^+$ 295.0877; Found 295.0881.



3ac

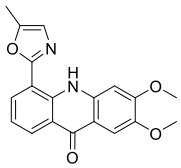
2-bromo-5-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ac**). 34.0 mg, 96% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.99 (s, 1H), 8.60 – 8.52 (m, 1H), 8.43 (d, *J* = 7.9 Hz, 1H), 7.66 (d, *J* = 8.0 Hz, 1H), 7.47 (d, *J* = 8.2 Hz, 1H), 7.29 (t, *J* = 7.7 Hz, 2H), 7.02 (s, 1H), 2.48

(s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 179.8, 160.9, 150.2, 142.1, 139.9, 135.3, 132.7, 131.3, 128.7, 124.9, 123.8, 123.6, 123.2, 121.9, 119.4, 114.4, 12.6. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{32}H_{24}F_2N_2O^+$ 491.1935 and $C_{17}H_{12}BrN_2O_2^+$ 355.0077; Found 355.0074.



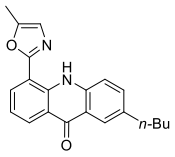
3ad

2-methoxy-5-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ad**). 10.4 mg, 34% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 8:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.55 (s, 1H), 8.61 (d, *J* = 7.9 Hz, 1H), 8.31 (d, *J* = 7.5 Hz, 1H), 7.87 (s, 1H), 7.47 (d, *J* = 8.9 Hz, 1H), 7.39 – 7.28 (m, 2H), 6.98 (s, 1H), 3.95 (s, 3H), 2.51 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.6, 159.4, 155.1, 148.5, 137.7, 135.4, 130.9, 129.7, 125.0, 123.3, 120.0, 119.4, 112.7, 105.6, 55.8, 11.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{14}N_2O_3^+$ 307.1077; Found 307.1073.



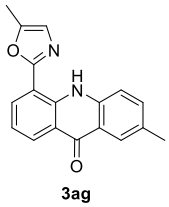
3ae

2,3-dimethoxy-5-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ae**). 17.5 mg, 52% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 5:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.16 (dd, *J* = 8.7, 1.3 Hz, 1H), 8.04 (dt, *J* = 8.4, 1.4 Hz, 1H), 7.76 (d, *J* = 2.9 Hz, 1H), 7.57 (ddd, *J* = 8.7, 7.2, 1.5 Hz, 1H), 7.51 – 7.43 (m, 6H), 7.33 (d, *J* = 2.9 Hz, 1H), 7.27 (d, *J* = 4.2 Hz, 2H), 7.24 (d, *J* = 1.7 Hz, 1H), 7.21 – 7.17 (m, 1H), 7.12 – 7.08 (m, 2H), 3.88 (s, 3H), 3.77 (s, 3H). 2.51 (s, 3H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 176.8, 162.3, 158.6, 145.9, 141.3, 139.9, 136.9, 134.5, 129.7, 128.6, 124.8, 123.7, 122.1, 120.8, 106.1, 55.9, 41.1, 11.8. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{19}H_{17}N_2O_4^+$ 337.1183; Found 337.1185.



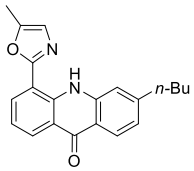
3af

2-butyl-5-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3af**). 20.6 mg, 62% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.42 (s, 1H), 8.51 (d, *J* = 8.1 Hz, 1H), 8.31 (t, *J* = 7.9 Hz, 1H), 8.23 (d, *J* = 7.5 Hz, 1H), 7.21 (d, *J* = 6.1 Hz, 2H), 7.06 (d, *J* = 8.1 Hz, 1H), 6.92 (s, 1H), 2.70 (t, *J* = 7.8 Hz, 2H), 2.40 (s, 3H), 1.64 (t, *J* = 7.7 Hz, 2H), 1.37 – 1.31 (m, 2H), 0.90 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 177.9, 159.4, 149.6, 148.5, 140.7, 138.3, 130.9, 129.7, 127.0, 123.3, 122.3, 120.1, 119.8, 116.6, 112.6, 36.1, 33.2, 22.5, 14.0, 11.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{21}H_{21}N_2O_2^+$ 333.1598; Found 333.1594.



3ag

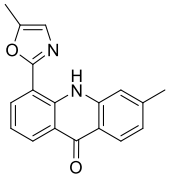
2-methyl-5-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ag**). 23.8 mg, 82% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.25 (s, 1H), 7.95 (d, *J* = 7.9 Hz, 1H), 7.80 (d, *J* = 8.1 Hz, 1H), 7.52 (d, *J* = 7.6 Hz, 1H), 7.47 (d, *J* = 7.8 Hz, 1H), 7.32 (d, *J* = 7.9 Hz, 1H), 7.01 (d, *J* = 7.3 Hz, 1H), 6.94 (s, 1H), 2.63 (s, 3H), 2.38 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 174.1, 157.4, 146.4, 138.4, 137.5, 136.4, 134.4, 133.3, 130.9, 128.2, 126.1, 125.3, 124.2, 121.5, 121.3, 112.5, 110.4, 21.8, 11.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{15}N_2O_2^+$ 291.1128; Found 291.1125.



3ah

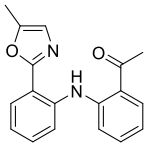
3-butyl-5-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ah**). 25.9 mg, 78% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.50 (s, 1H), 8.59 (d, *J* = 8.1 Hz, 1H), 8.39 (t, *J* = 7.9 Hz, 1H), 8.31 (d, *J* = 7.5 Hz, 1H), 7.29 (d, *J* = 6.1 Hz, 2H), 7.14 (d, *J* = 8.1 Hz, 1H), 7.00 (s,

1H), 2.77 (t, *J* = 7.8 Hz, 2H), 2.48 (s, 3H), 1.72 (t, *J* = 7.7 Hz, 2H), 1.44 – 1.38 (m, 2H), 0.97 (t, *J* = 7.4 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 176.2, 157.7, 147.9, 146.8, 139.0, 136.6, 129.2, 128.0, 125.3, 121.6, 120.6, 118.4, 118.1, 114.9, 110.9, 34.4, 31.5, 20.8, 12.3, 9.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{21}H_{21}N_2O_2^+$ 333.1598; Found 333.1596.



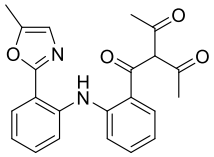
3ai

3-methyl-5-(5-methyloxazol-2-yl)acridin-9(10H)-one (**3ai**). 24.4 mg, 84% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1^{1}H NMR (400 MHz, Chloroform-*d*) δ 12.47 (s, 1H), 8.47 (d, *J* = 8.1 Hz, 1H), 8.39 (s, 1H), 8.14 (d, *J* = 2.3 Hz, 1H), 7.67 (t, *J* = 7.4 Hz, 1H), 7.48 (d, *J* = 8.4 Hz, 1H), 7.28 (d, *J* = 7.2 Hz, 1H), 6.97 (s, 1H), 2.50 (d, *J* = 20.9 Hz, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 178.1, 159.4, 148.4, 140.4, 136.5, 134.4, 133.4, 132.3, 129.9, 129.2, 127.1, 123.3, 122.2, 121.7, 121.4, 117.6, 112.6, 20.9, 11.0. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{15}N_2O_2^+$ 291.1128; Found 291.1133.



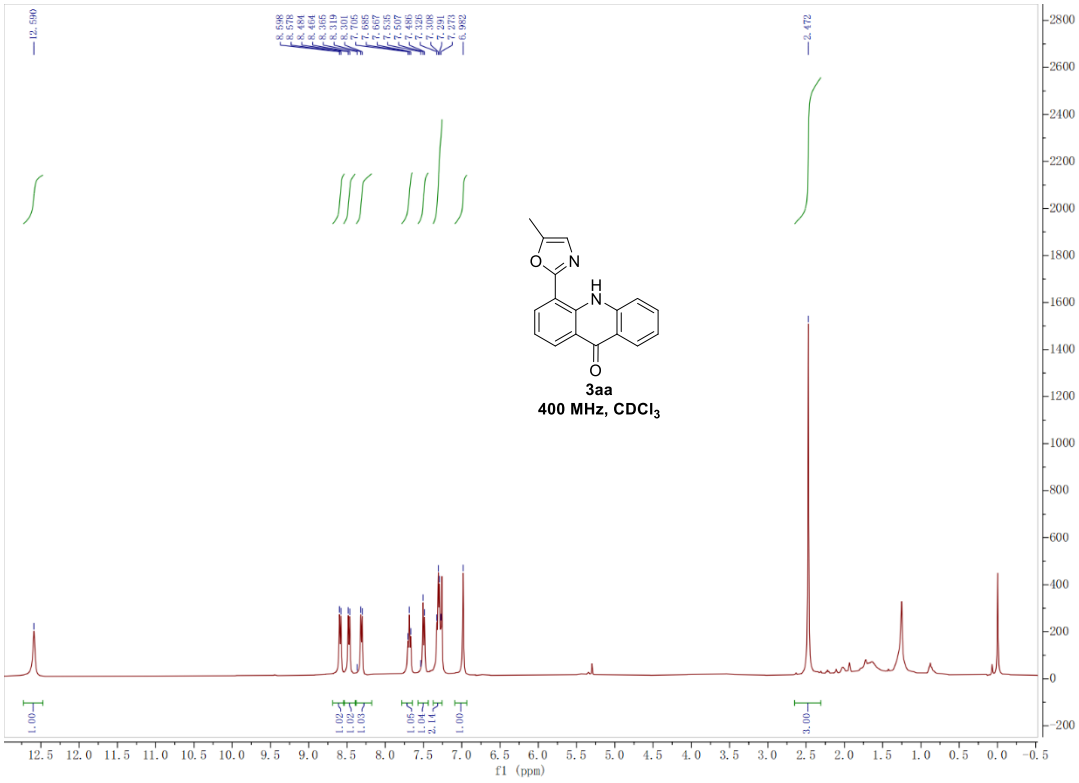
4aa

1-(2-((2-(5-methyloxazol-2-yl)phenyl)amino)phenyl)ethan-1-one (**4aa**). 17.5 mg, 60% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 11.33 (s, 1H), 7.97 (d, *J* = 7.9 Hz, 1H), 7.80 (d, *J* = 8.0 Hz, 1H), 7.52 (t, *J* = 9.8 Hz, 2H), 7.28 (dd, *J* = 19.0, 11.0 Hz, 2H), 7.00 (t, *J* = 7.6 Hz, 1H), 6.94 (s, 1H), 6.87 (t, *J* = 7.6 Hz, 1H), 2.64 (s, 3H), 2.39 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 196.7, 181.7, 161.9, 153.9, 149.2, 144.4, 142.5, 138.5, 133.4, 126.3, 124.4, 119.8, 116.3, 111.5, 106.9, 30.3, 12.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{18}H_{17}N_2O_2^+$ 293.1285; Found 293.1282.

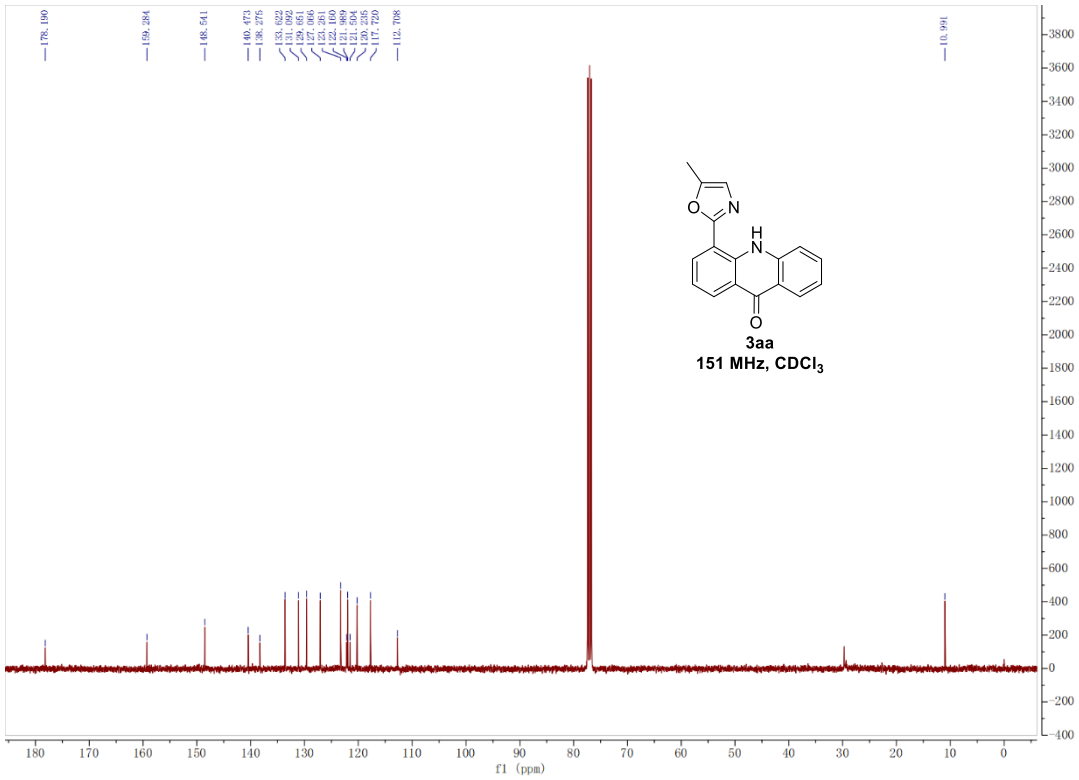


4ab

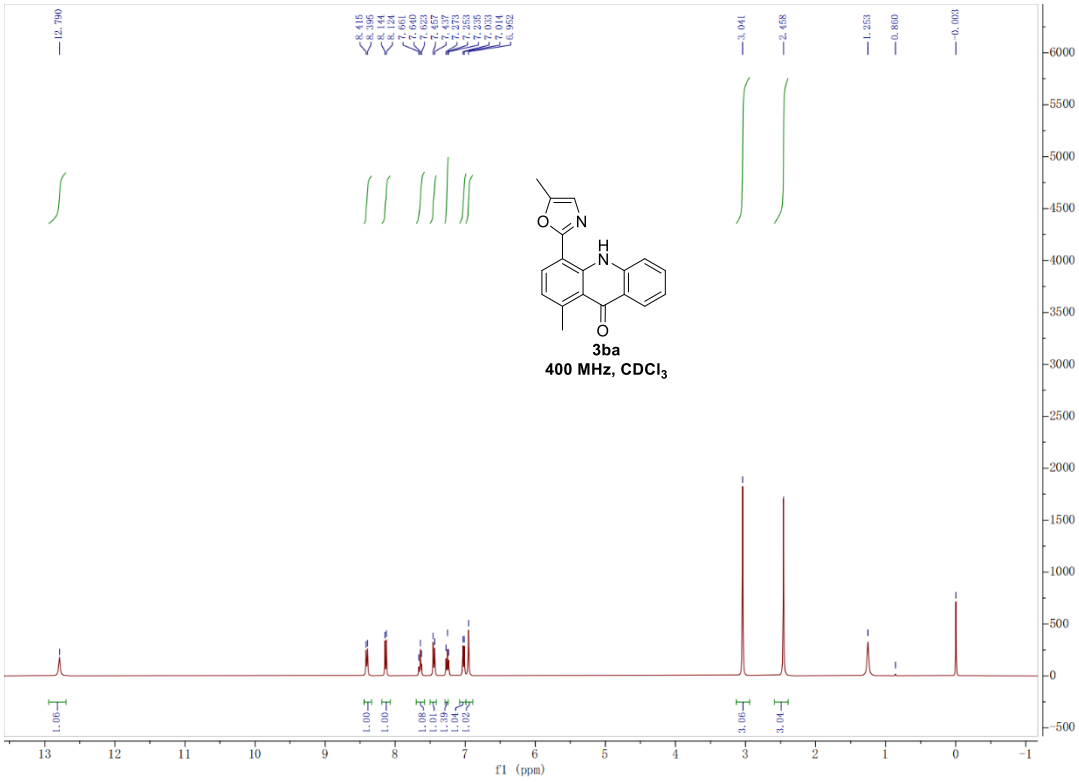
3-(2-((2-(5-methyloxazol-2-yl)phenyl)amino)benzoyl)pentane-2,4-dione (**4ab**). 12.0mg, 32% yield; Yellow solid; eluent (petroleum ether/ethyl acetate = 10:1). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 9.45 – 9.38 (m, 1H), 8.42 (d, *J* = 8.0 Hz, 1H), 7.87 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.82 (d, *J* = 4.0 Hz, 2H), 7.62 (ddd, *J* = 8.8, 7.1, 1.6 Hz, 1H), 7.55 – 7.47 (m, 4H), 7.38 (t, *J* = 7.6 Hz, 2H), 7.28 (s, 1H), 5.29 – 5.14 (m, 1H), 3.83 – 3.74 (m, 2H), 2.65 (d, *J* = 2.7 Hz, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 196.7, 181.7, 161.9, 153.9, 149.2, 144.4, 142.5, 138.5, 133.4, 126.3, 124.4, 119.8, 116.3, 111.5, 106.9, 30.3, 12.3. HRMS (ESI-TOF) m/z: $[M + H]^+$ Calcd for $C_{22}H_{21}N_2O_4^+$ 377.1496; Found 377.1499.



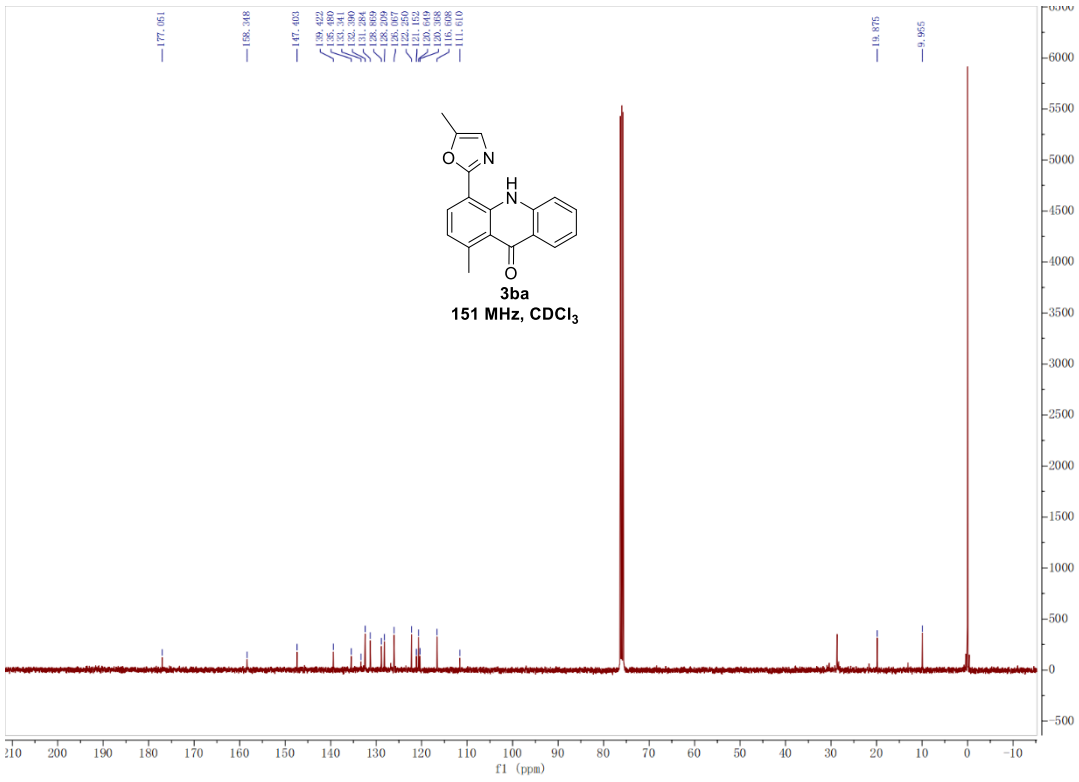
3aa
400 MHz, $CDCl_3$



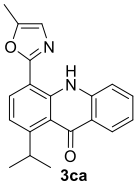
3aa
151 MHz, $CDCl_3$



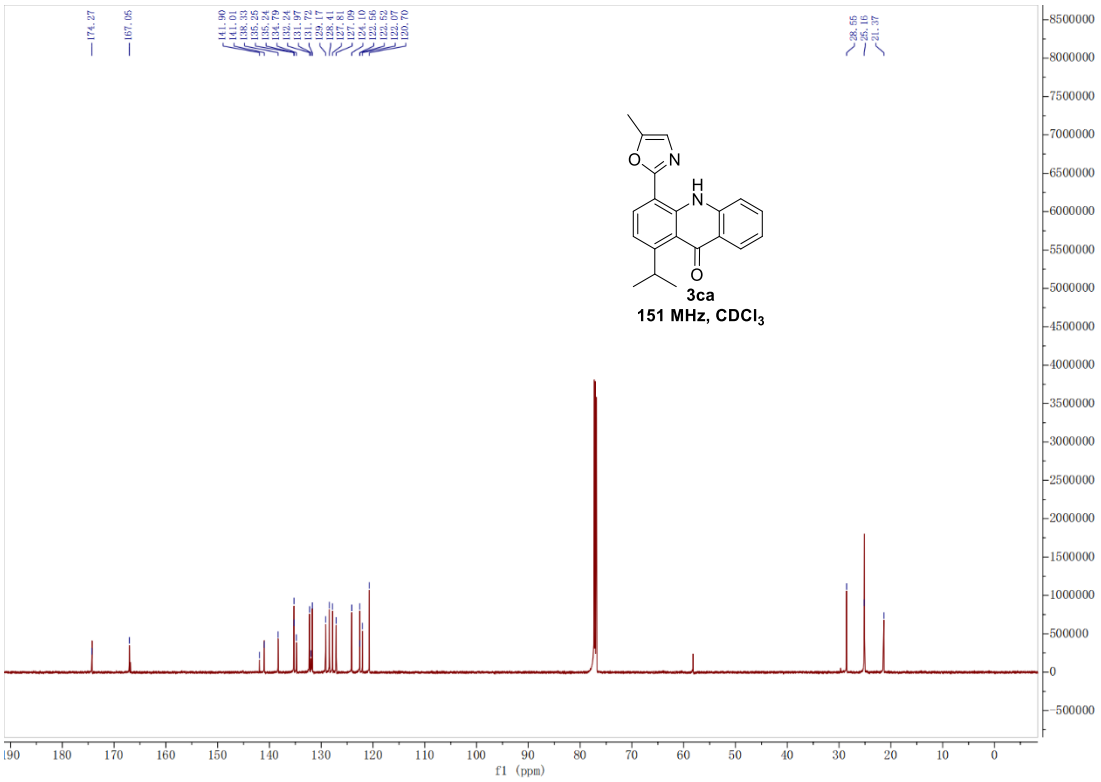
3ba
400 MHz, $CDCl_3$

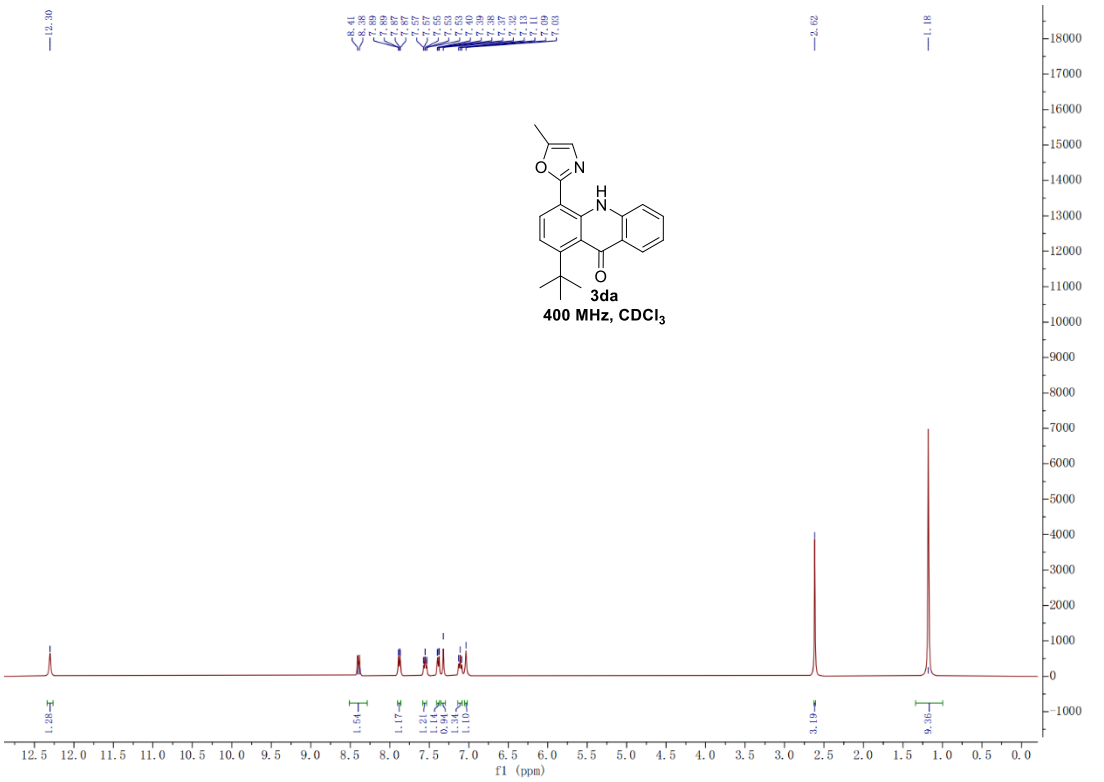


3ba
151 MHz, $CDCl_3$



3ca
400 MHz, $CDCl_3$

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7.09
7.03
2.62
1.18
3da
400 MHz, CDCl3
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1.34
1.10
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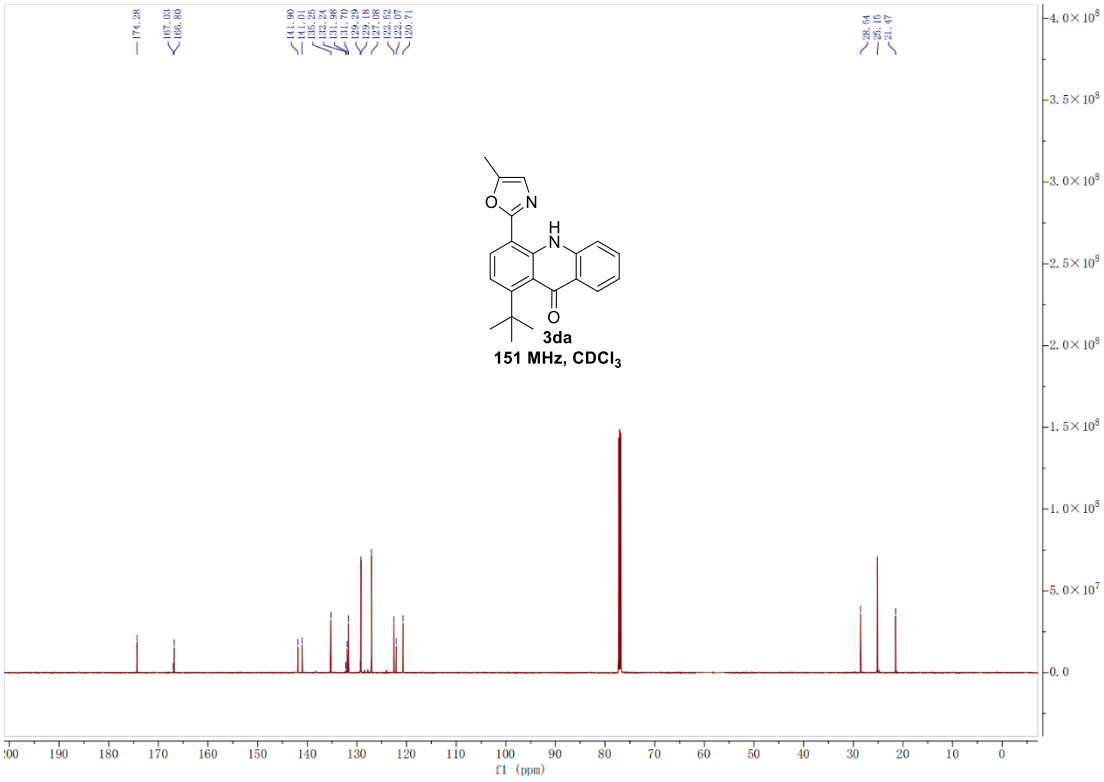
f1 (ppm)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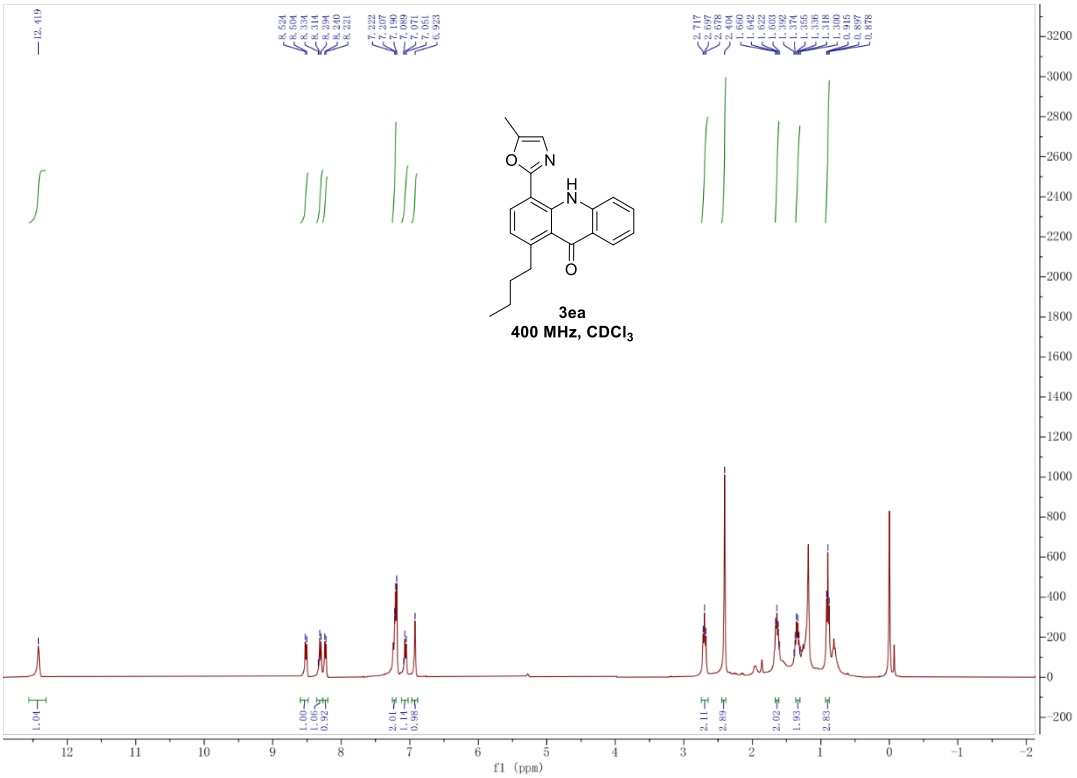
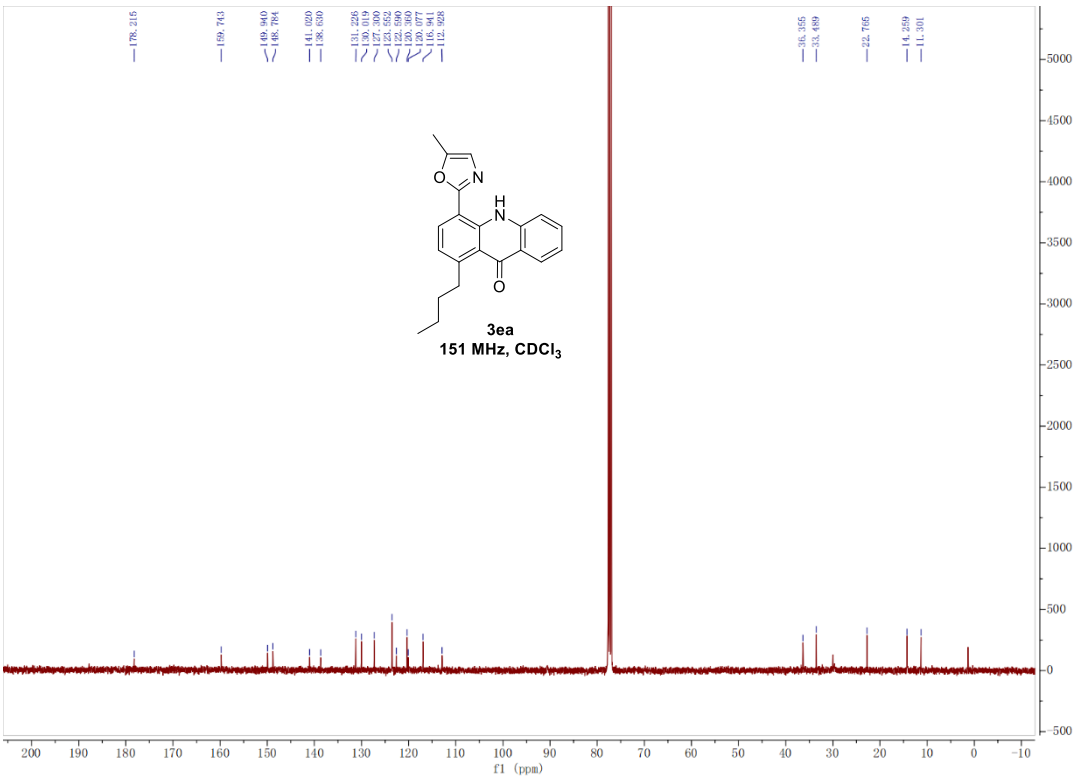
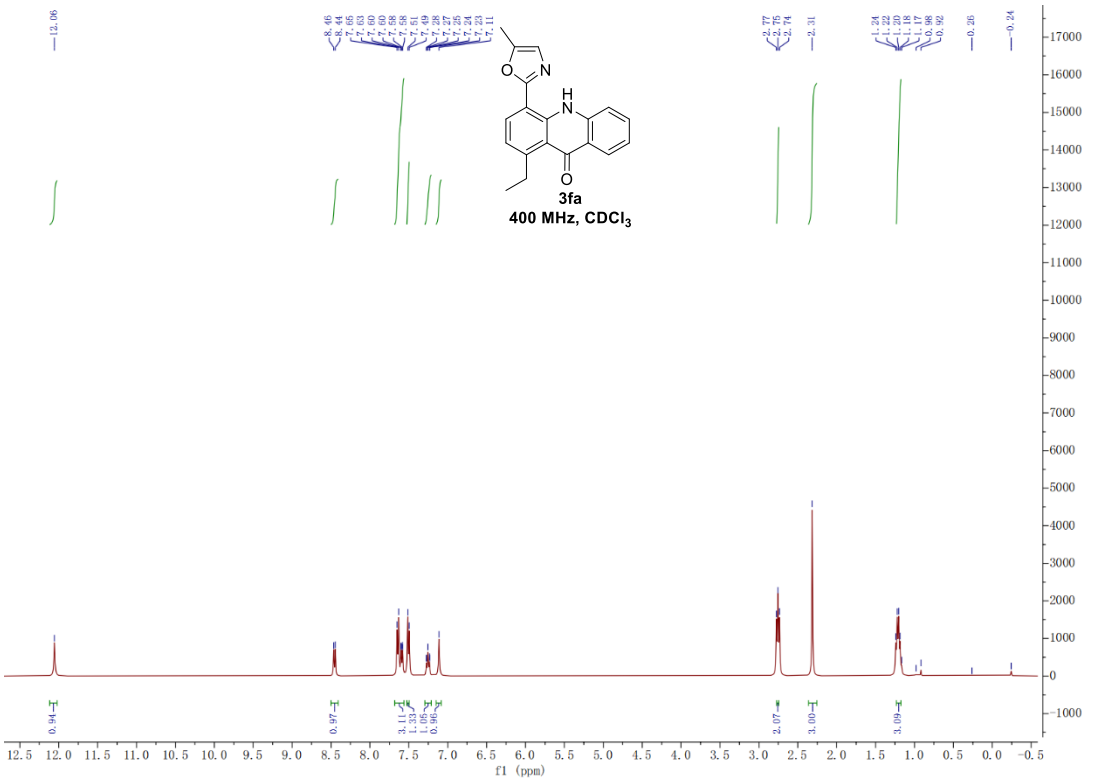
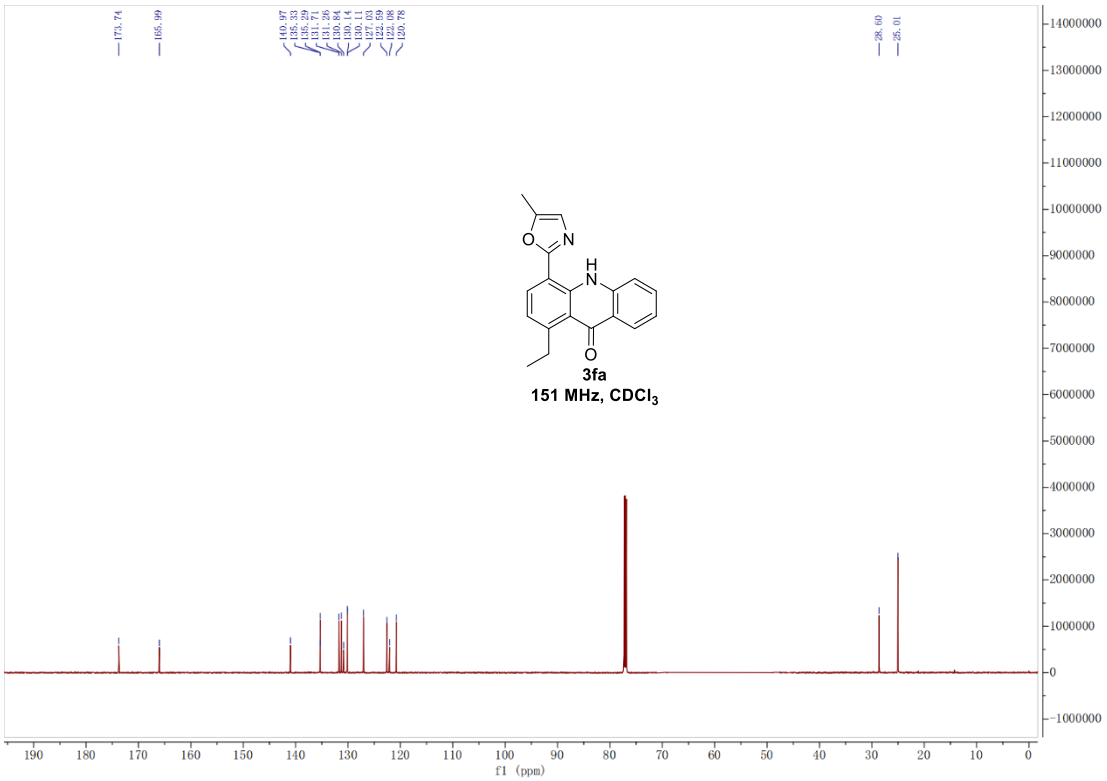
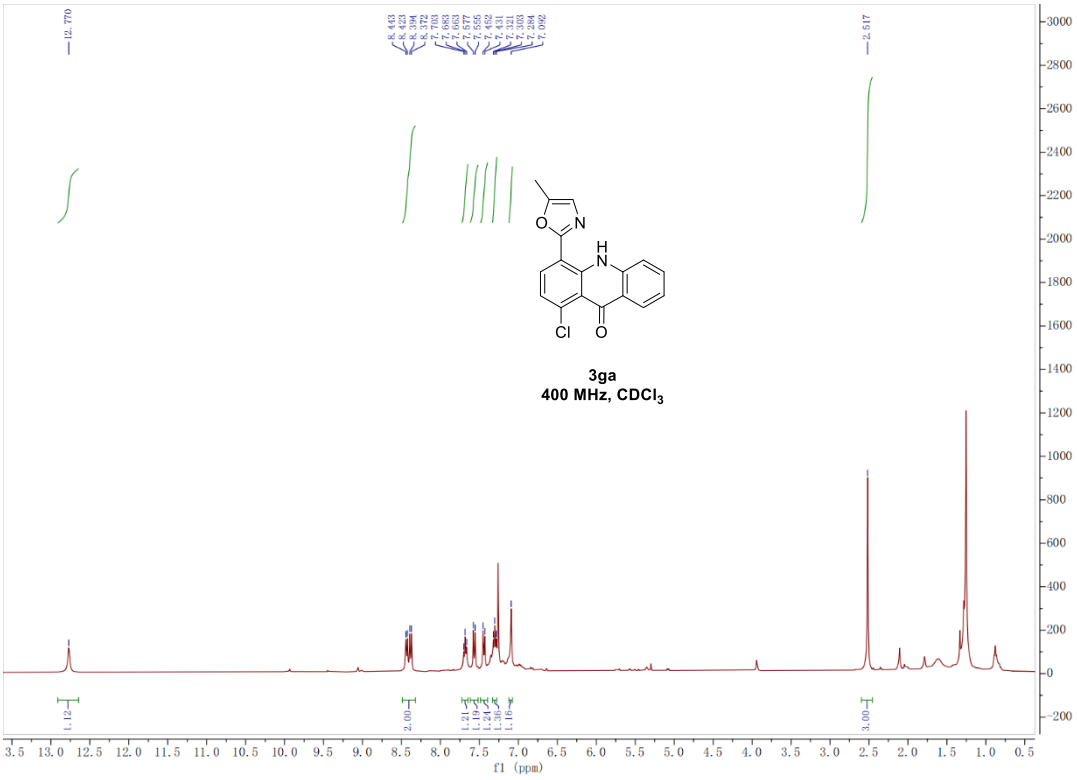
122.07
120.71
28.54
25.15
21.47
3da
151 MHz, CDCl3
f1 (ppm)


12.419
8.524
8.504
8.334
8.314
8.294
8.210
8.191
7.222
7.207
7.189
7.169
7.071
7.051
6.923
2.717
2.697
2.678
2.484
2.460
1.642
1.622
1.603
1.392
1.374
1.355
1.336
1.318
1.300
0.915
0.897
0.878
1.04
1.00
1.05
0.92
2.01
1.14
0.98
2.11
2.89
2.02
1.93
2.83
f1 (ppm)
3ea
400 MHz, CDCl3

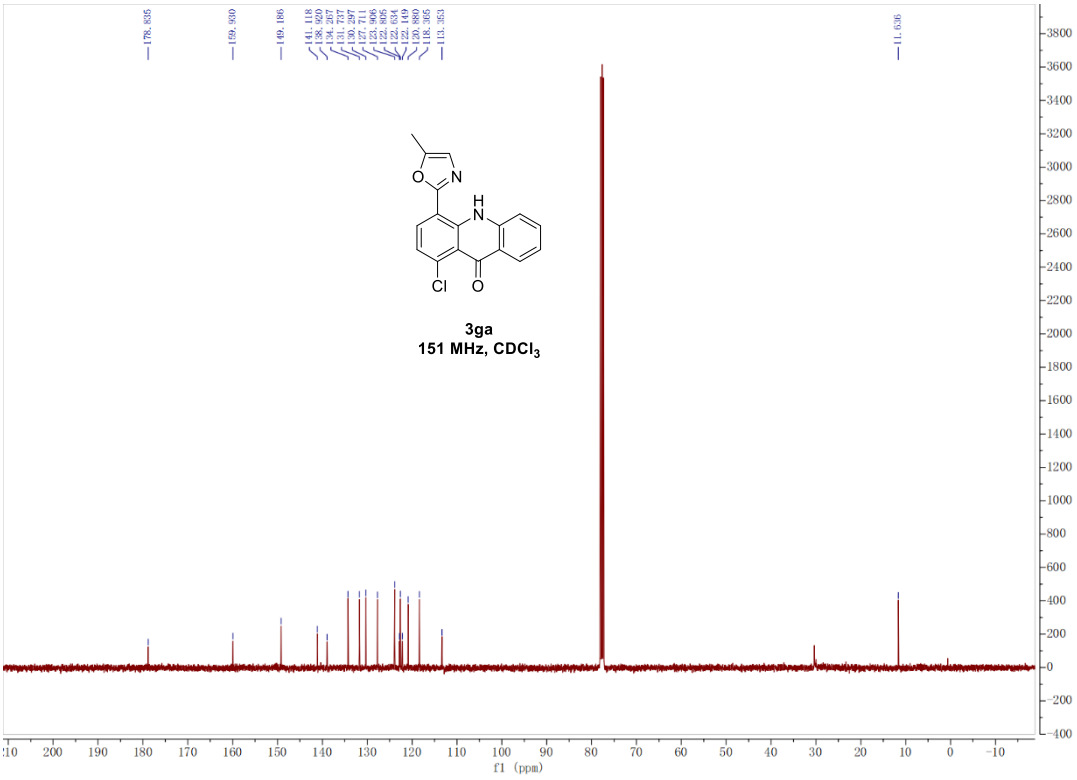

178.215
159.743
149.940
148.784
141.030
138.630
131.226
130.019
127.700
123.552
122.590
120.909
120.377
116.941
112.928
36.355
33.489
22.765
14.259
11.301
f1 (ppm)
3ea
151 MHz, CDCl3


12.06
8.46
8.44
7.65
7.63
7.60
7.58
7.58
7.51
7.49
7.38
7.27
7.25
7.24
7.23
7.11
2.77
2.75
2.74
2.31
1.24
1.22
1.20
1.18
1.17
0.98
0.92
0.26
0.24
O
N
H
O
3fa
400 MHz, CDCl3
0.94
0.97
3.11
1.53
1.05
0.96
2.07
3.00
3.09
f1 (ppm)

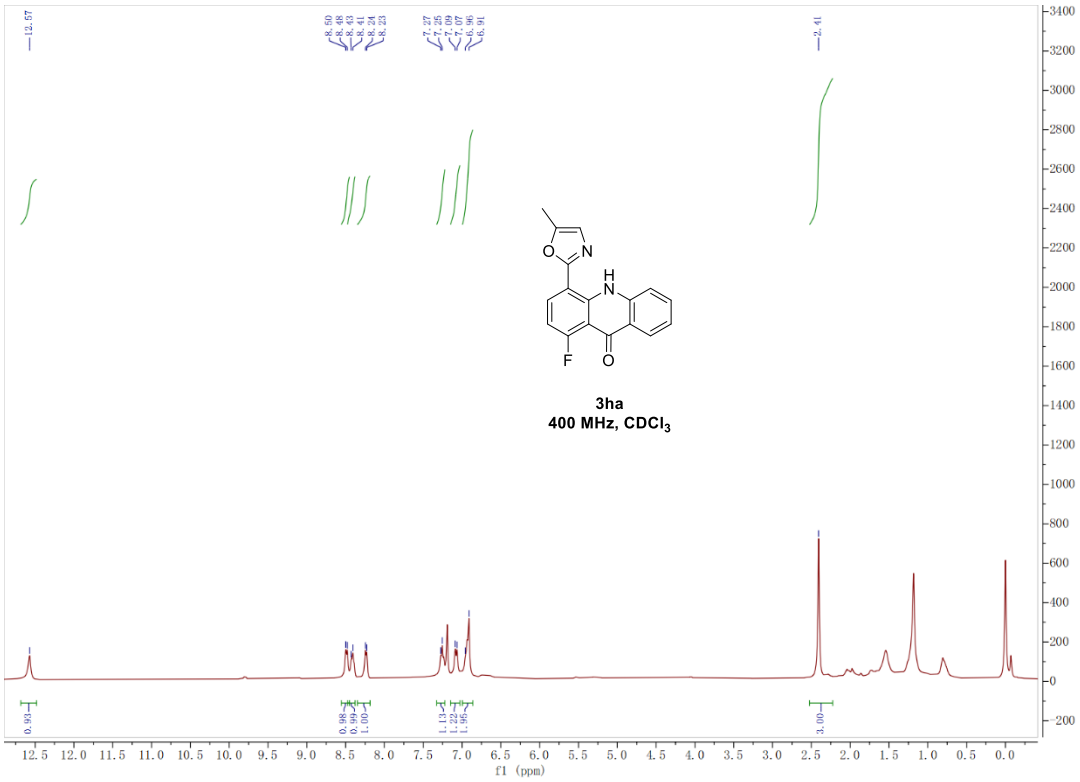

173.74
165.99
140.97
135.33
135.29
131.71
131.26
130.84
130.14
130.11
127.03
123.59
122.08
120.78
28.60
25.01
O
N
H
O
3fa
151 MHz, CDCl3
f1 (ppm)



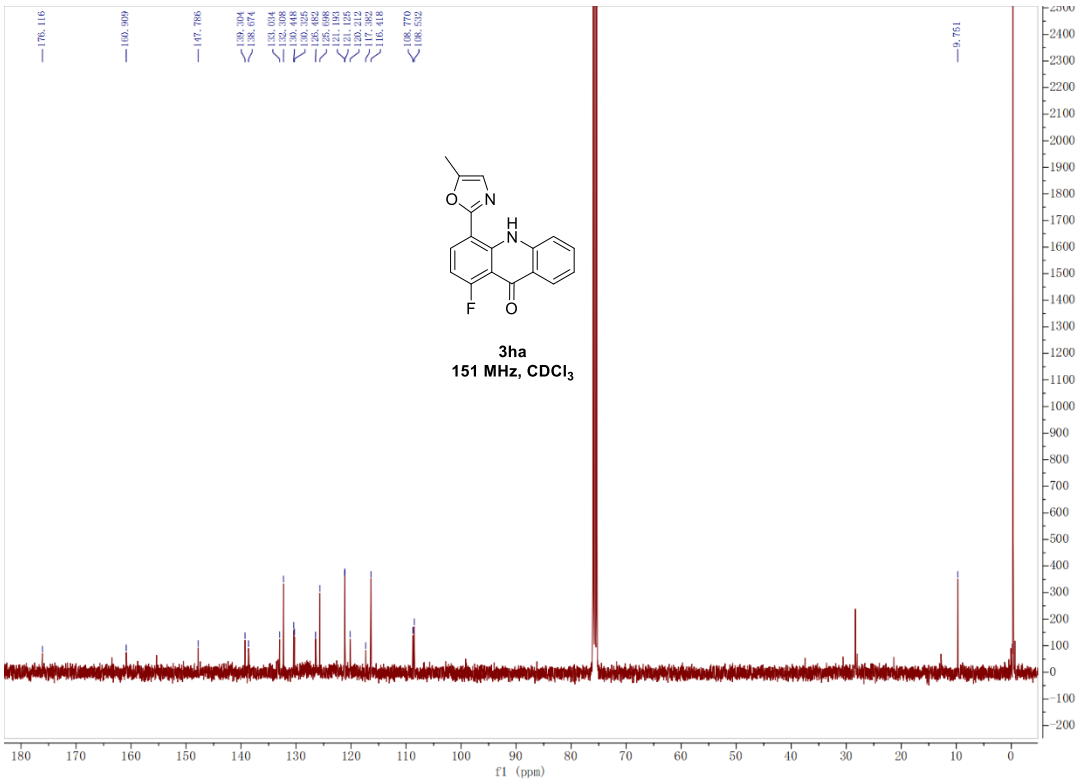
3ga
400 MHz, $CDCl_3$



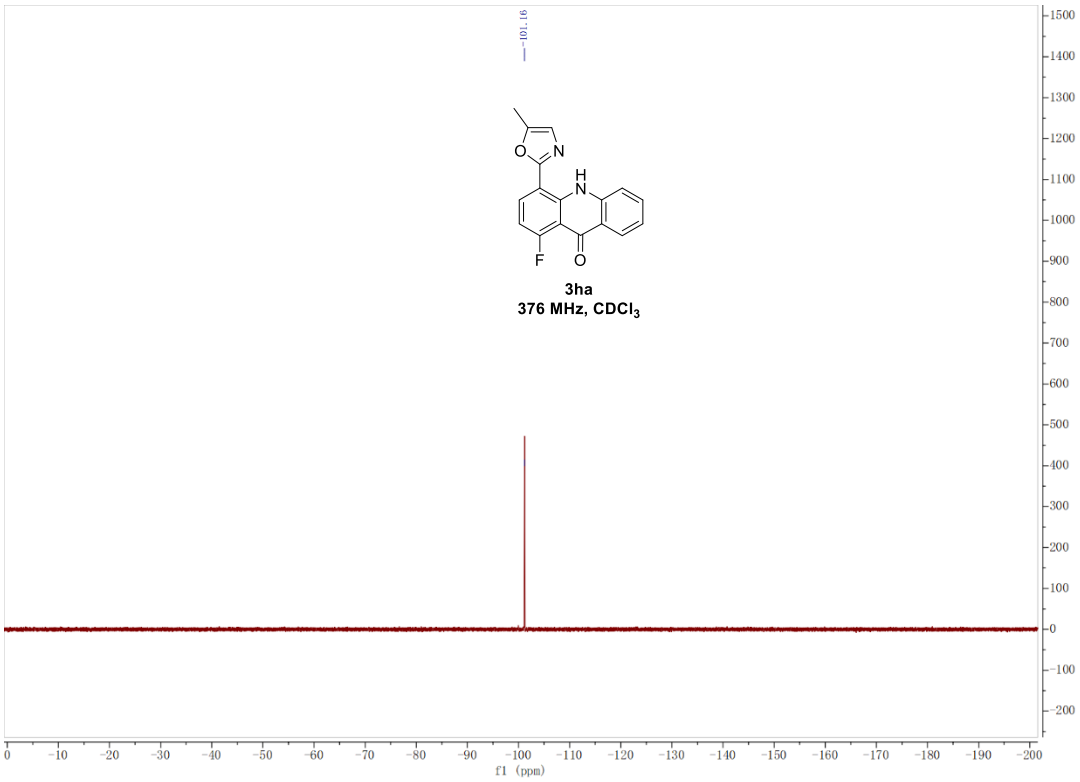
3ga
151 MHz, $CDCl_3$

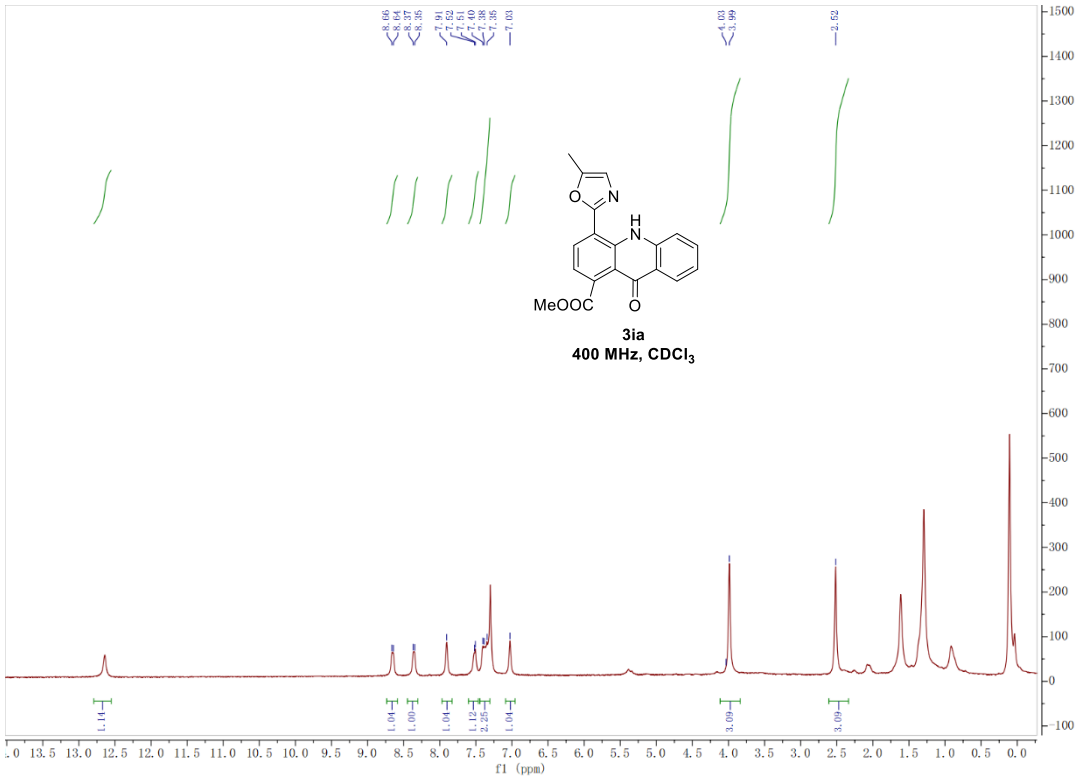


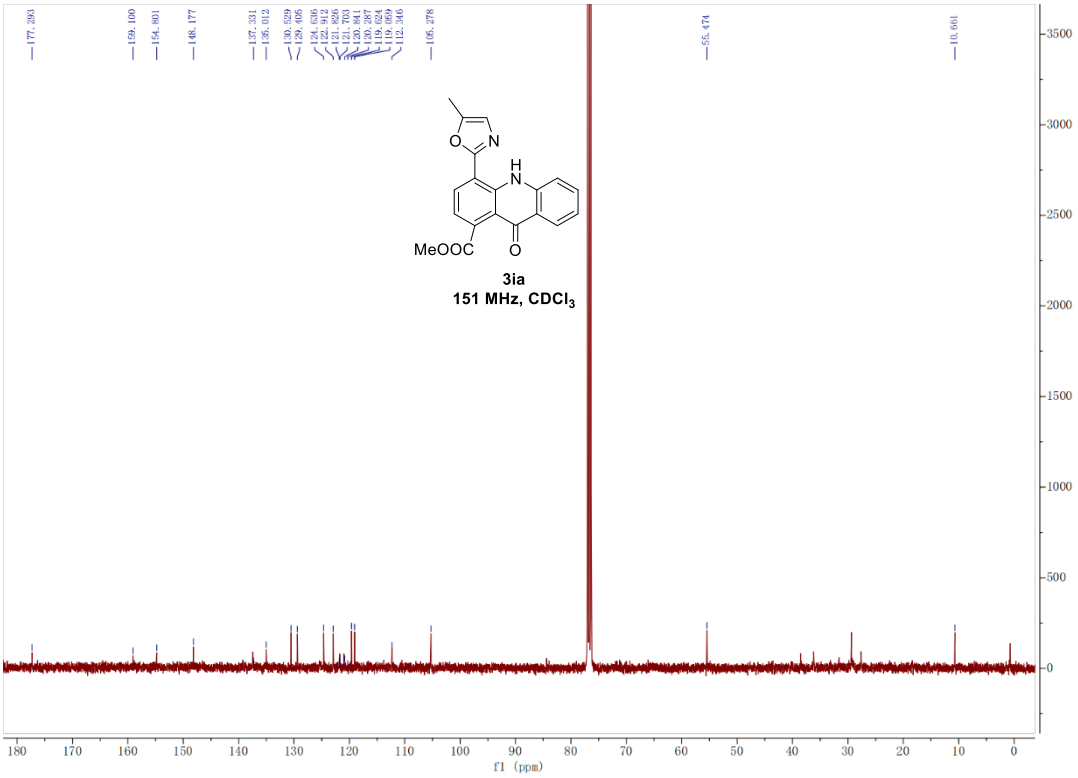
3ha
400 MHz, $CDCl_3$

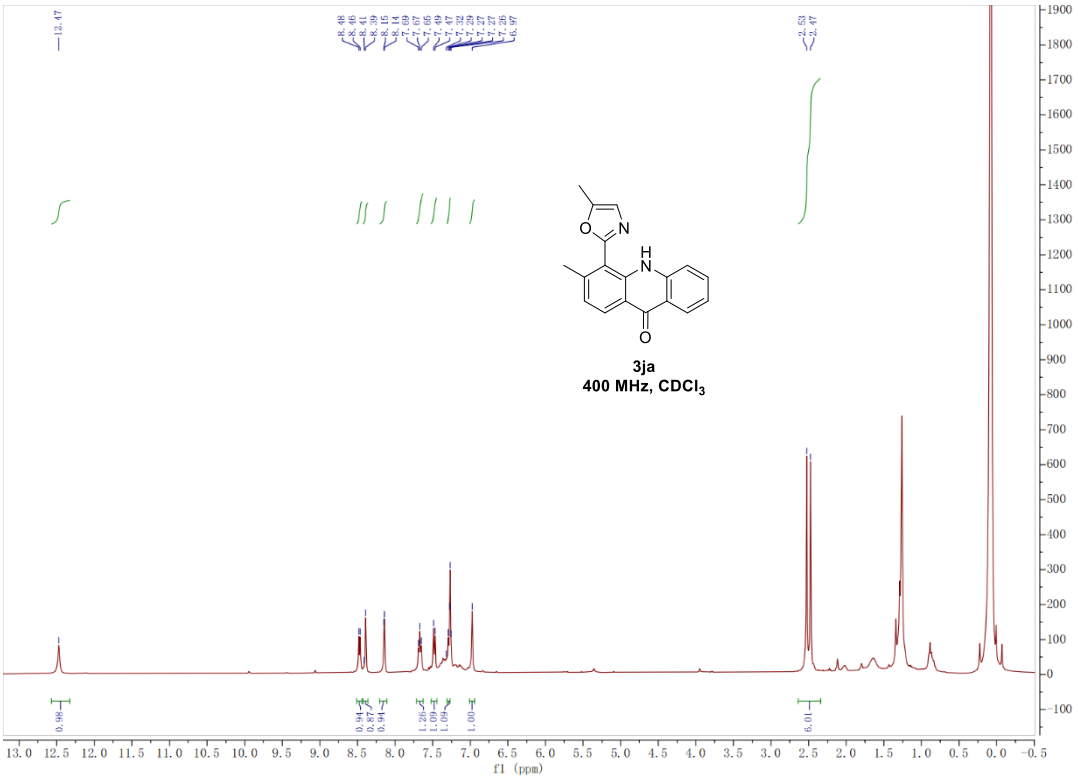


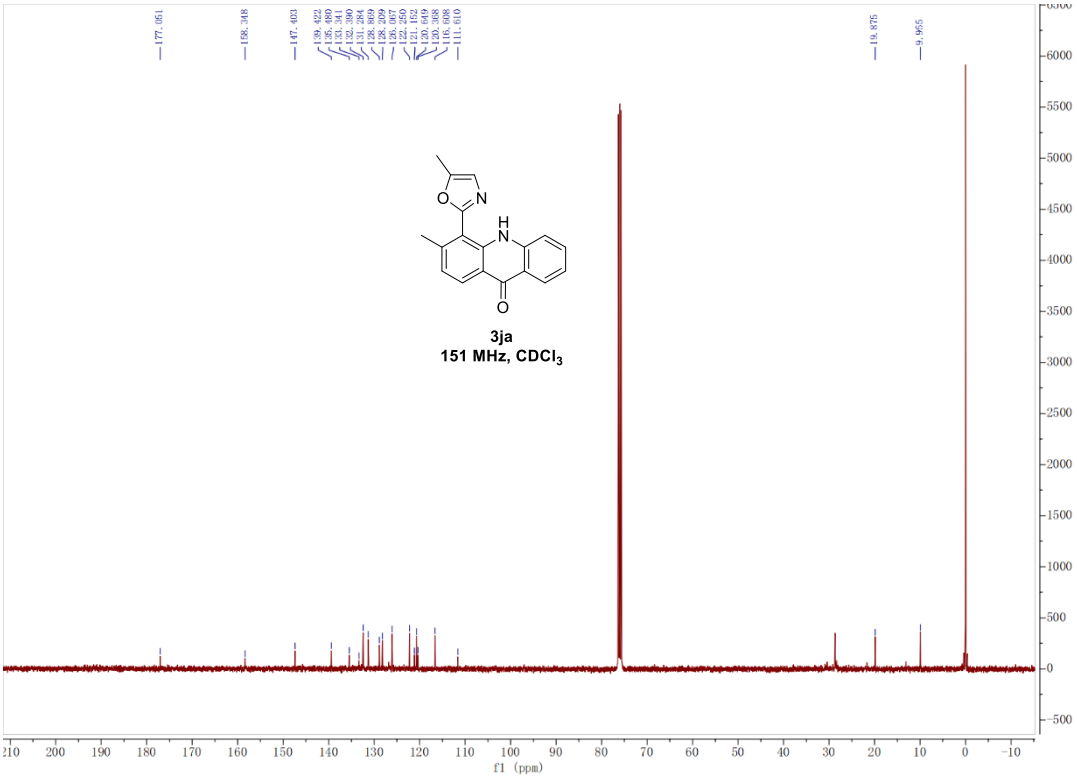
3ha
151 MHz, $CDCl_3$


-101.16
O
N
H
N
F
O
3ha
376 MHz, CDCl3
f1 (ppm)

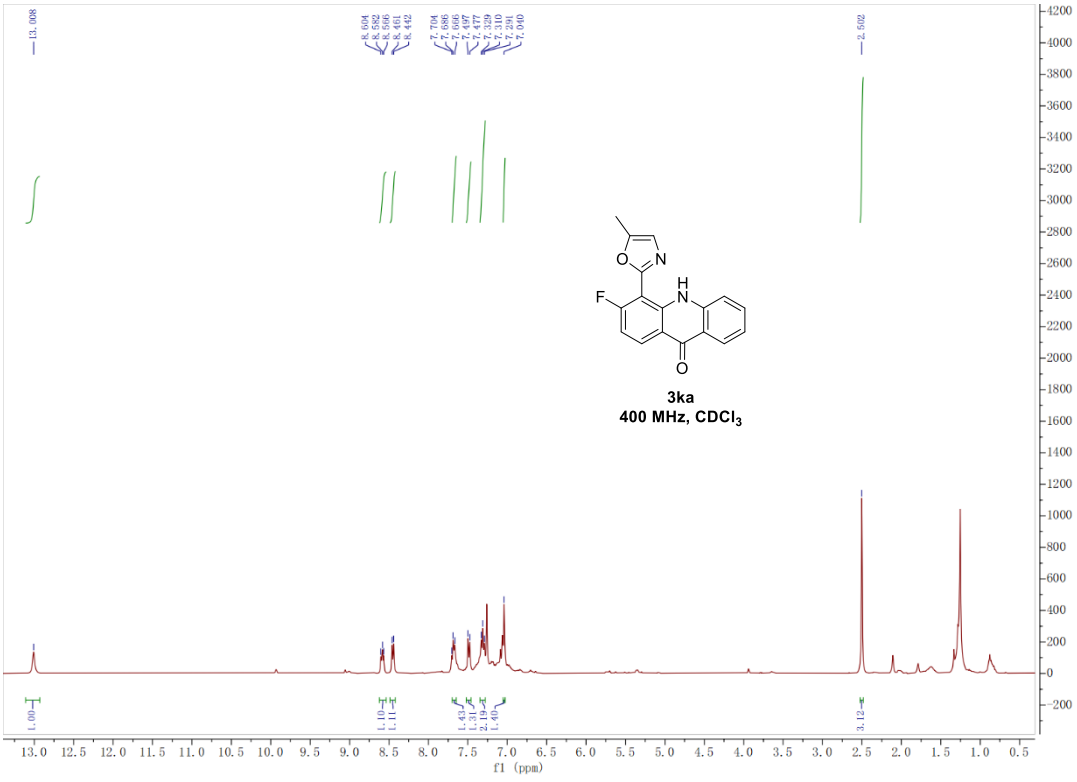

8.66
8.64
8.37
8.35
7.91
7.52
7.51
7.40
7.38
7.35
7.03
4.03
3.99
2.52
O
N
H
N
MeOOC
O
3ia
400 MHz, CDCl3
1.14
1.04
1.00
1.04
1.12
2.25
1.04
3.09
3.09
f1 (ppm)


177.293
159.100
154.801
148.177
137.331
135.012
130.529
129.405
124.636
122.942
121.895
121.703
120.841
120.287
119.624
119.059
112.346
105.278
55.474
10.661
MeOOC
3ia
151 MHz, CDCl3
f1 (ppm)

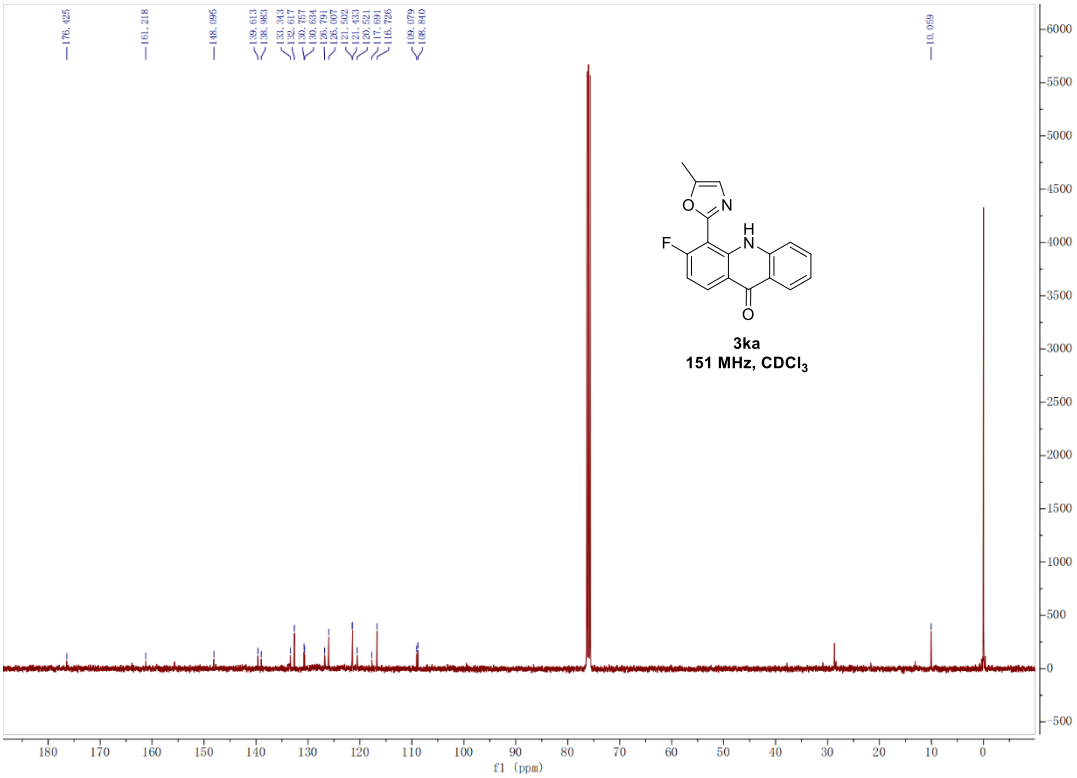

12.47
8.48
8.46
8.41
8.39
8.15
8.14
7.69
7.67
7.65
7.49
7.47
7.32
7.29
7.27
7.27
7.26
6.97
2.53
2.47
3ja
400 MHz, CDCl3
f1 (ppm)

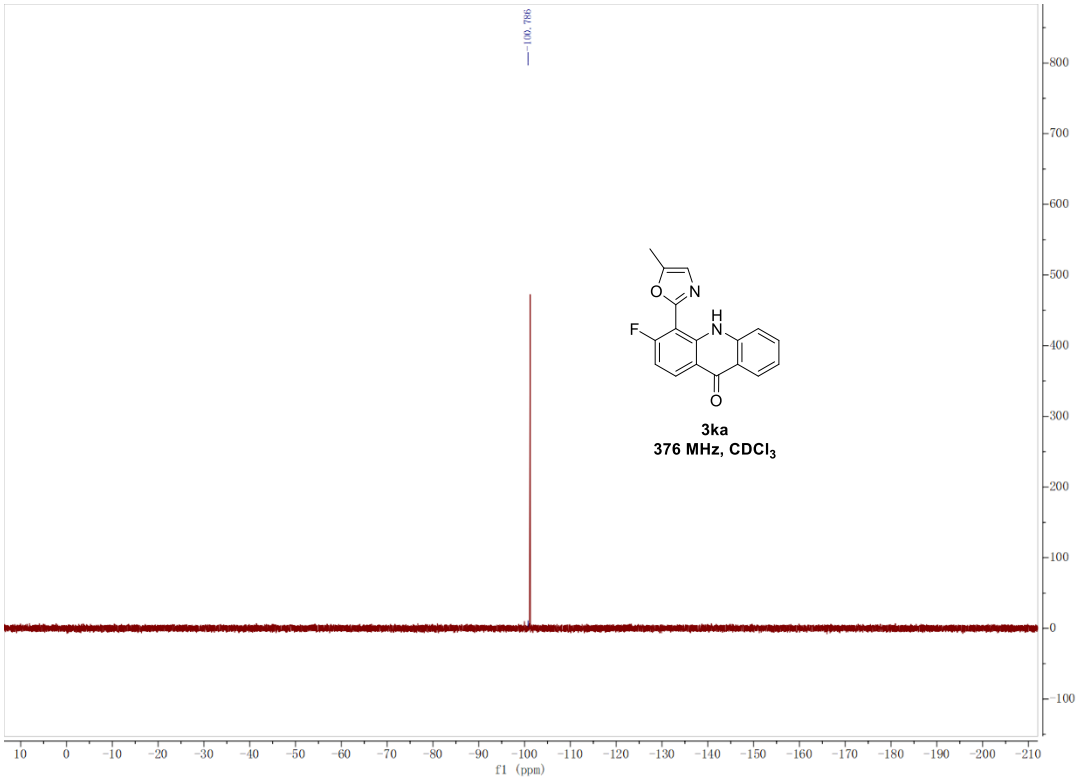


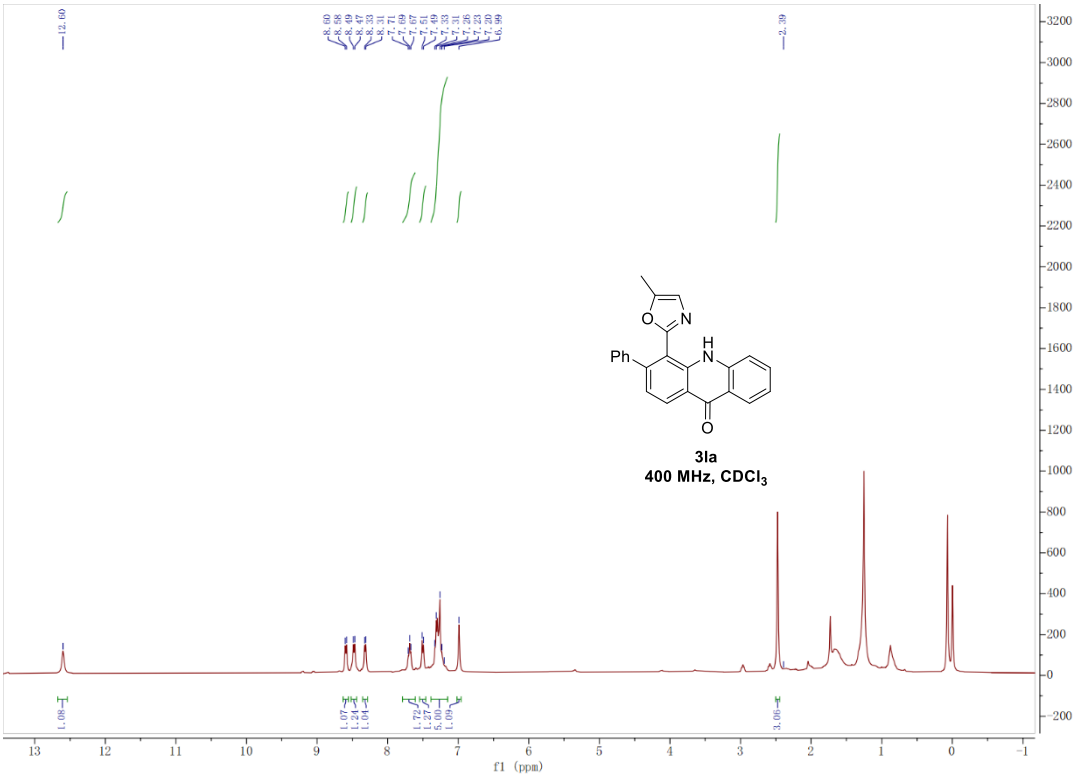
3ja
151 MHz, $CDCl_3$



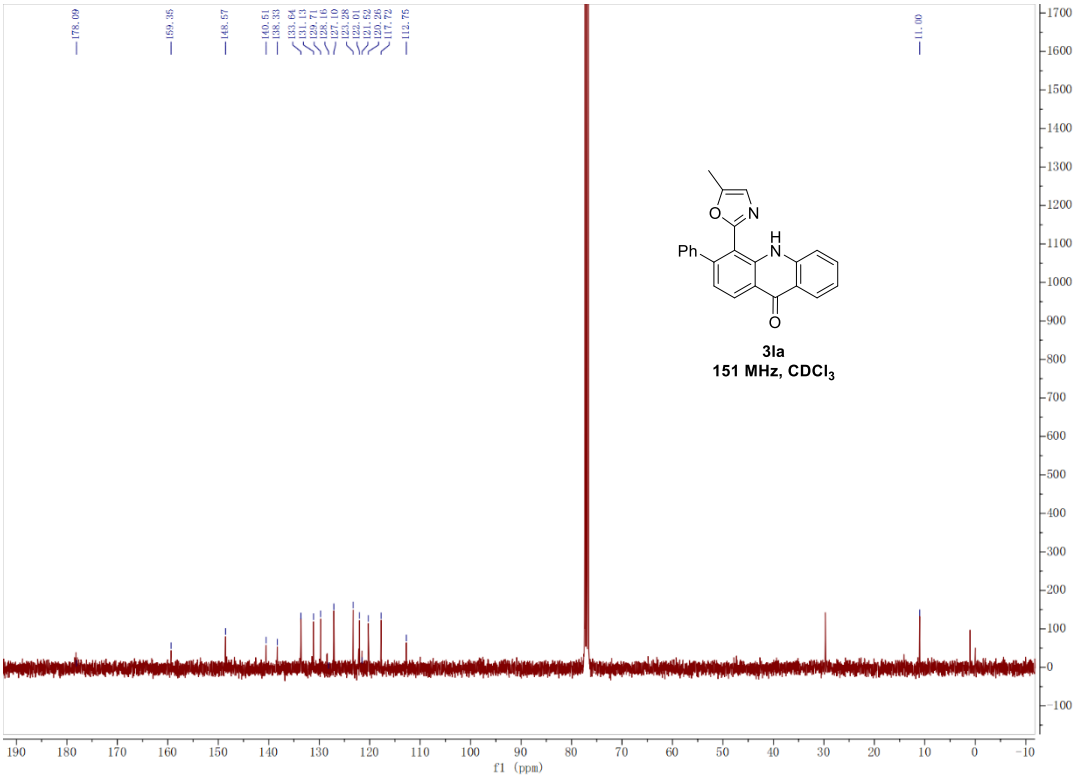
3ka
400 MHz, $CDCl_3$


176.425
161.218
148.095
139.613
138.985
133.343
132.617
130.757
130.634
126.791
126.097
121.502
121.433
120.521
117.981
116.726
109.079
108.840
10.059
F
O
N
H
O
3ka
151 MHz, CDCl3
f1 (ppm)

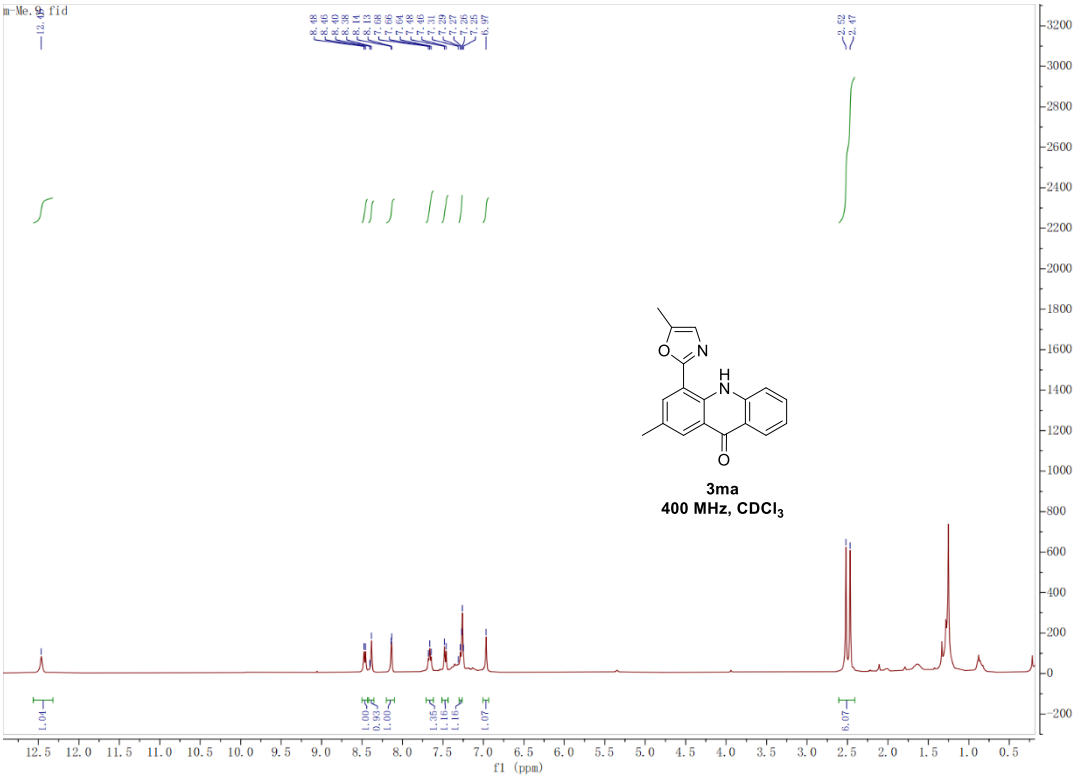

-100.786
F
O
N
H
O
3ka
376 MHz, CDCl3
f1 (ppm)



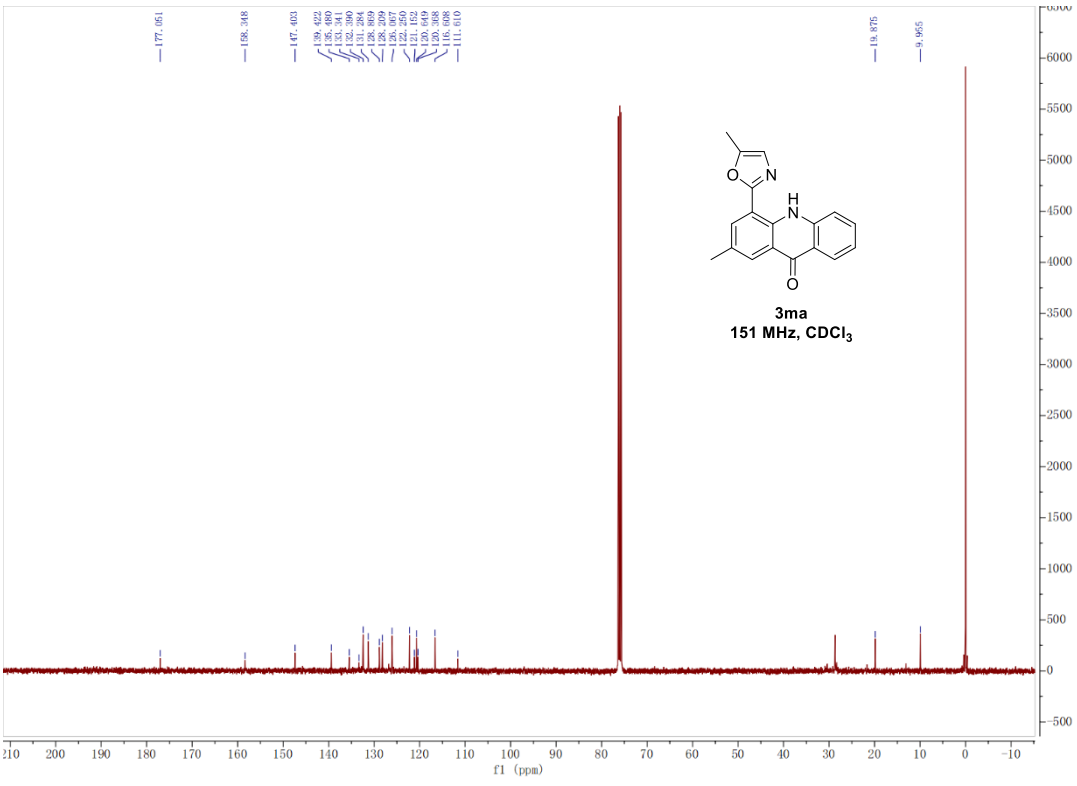
3la
400 MHz, $CDCl_3$



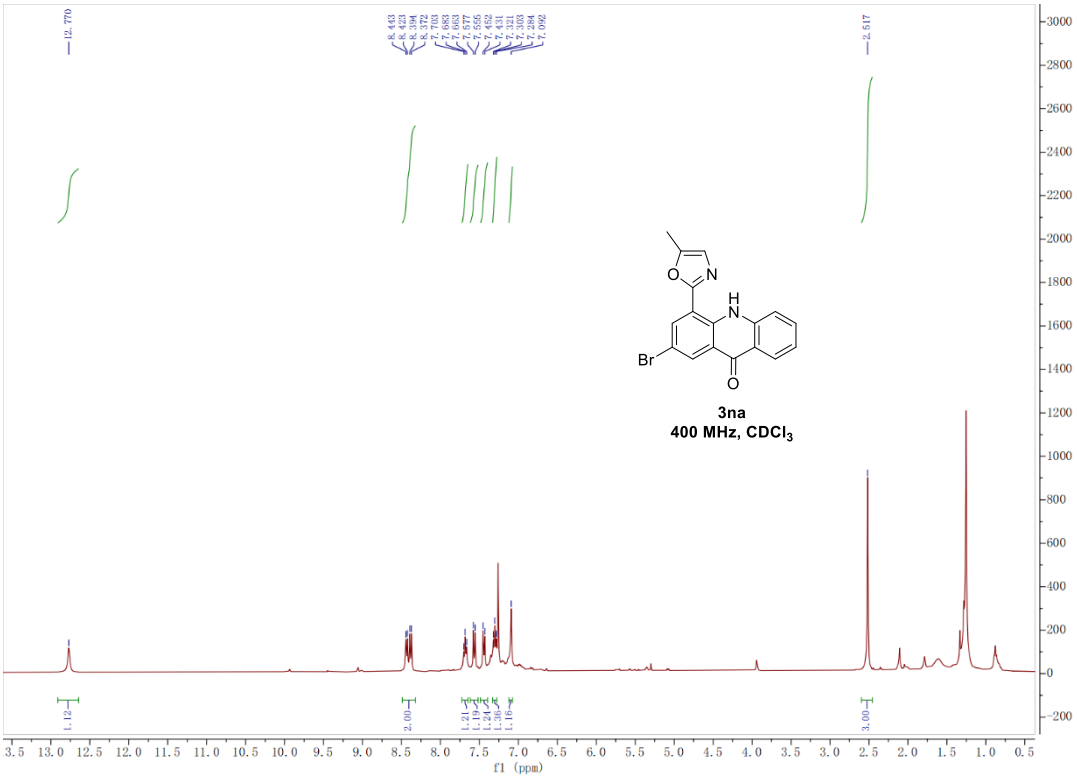
3la
151 MHz, $CDCl_3$

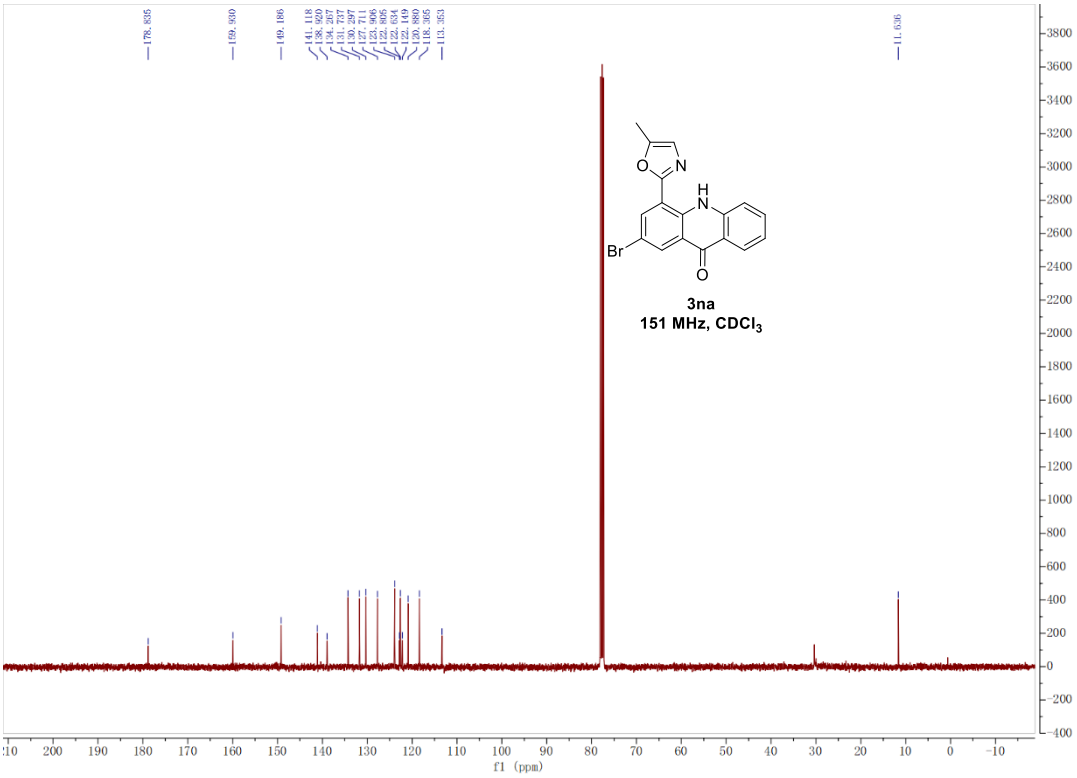


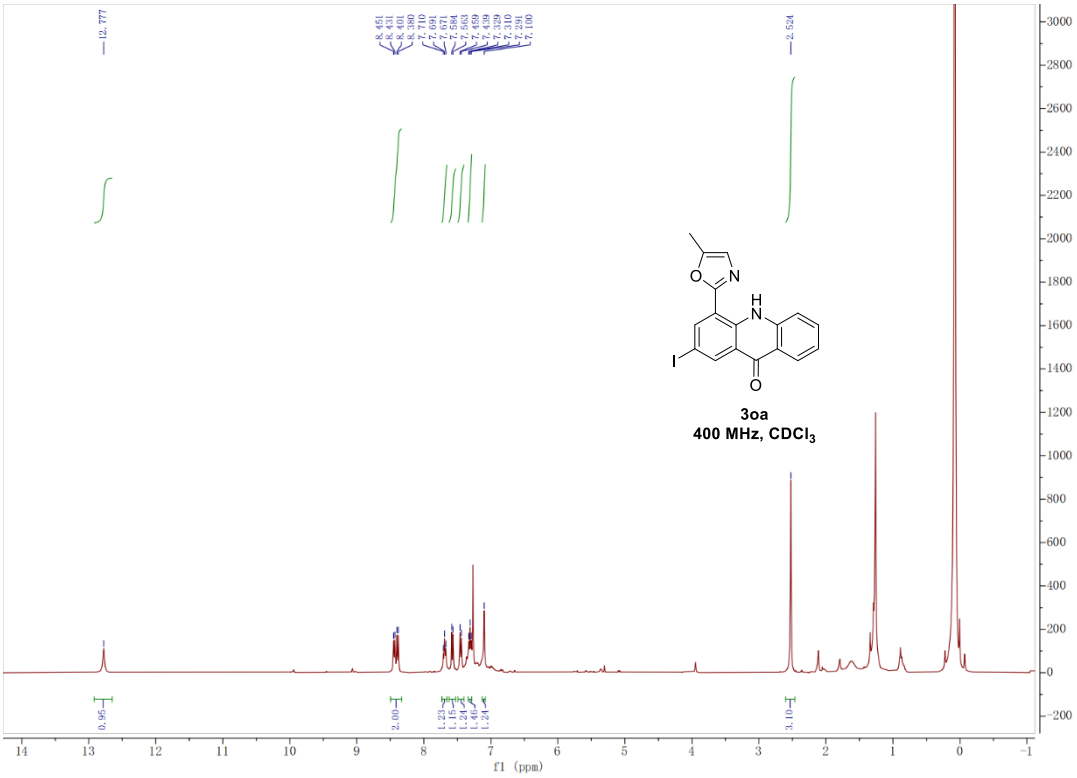
3ma
400 MHz, $CDCl_3$

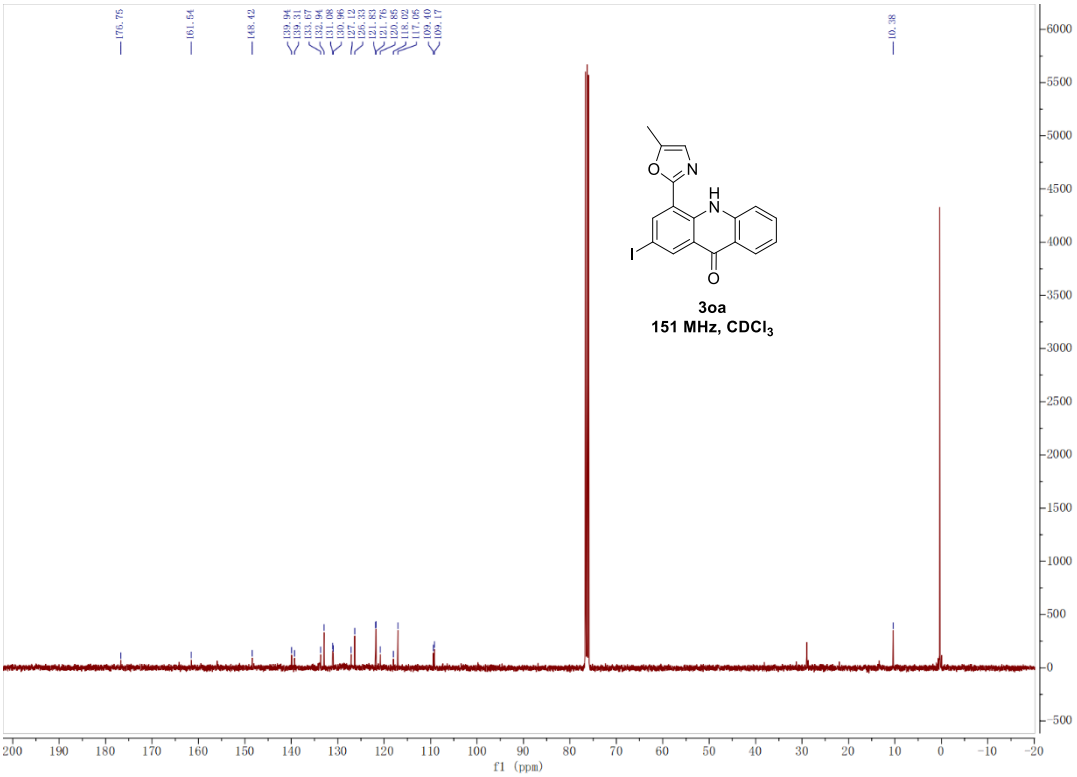


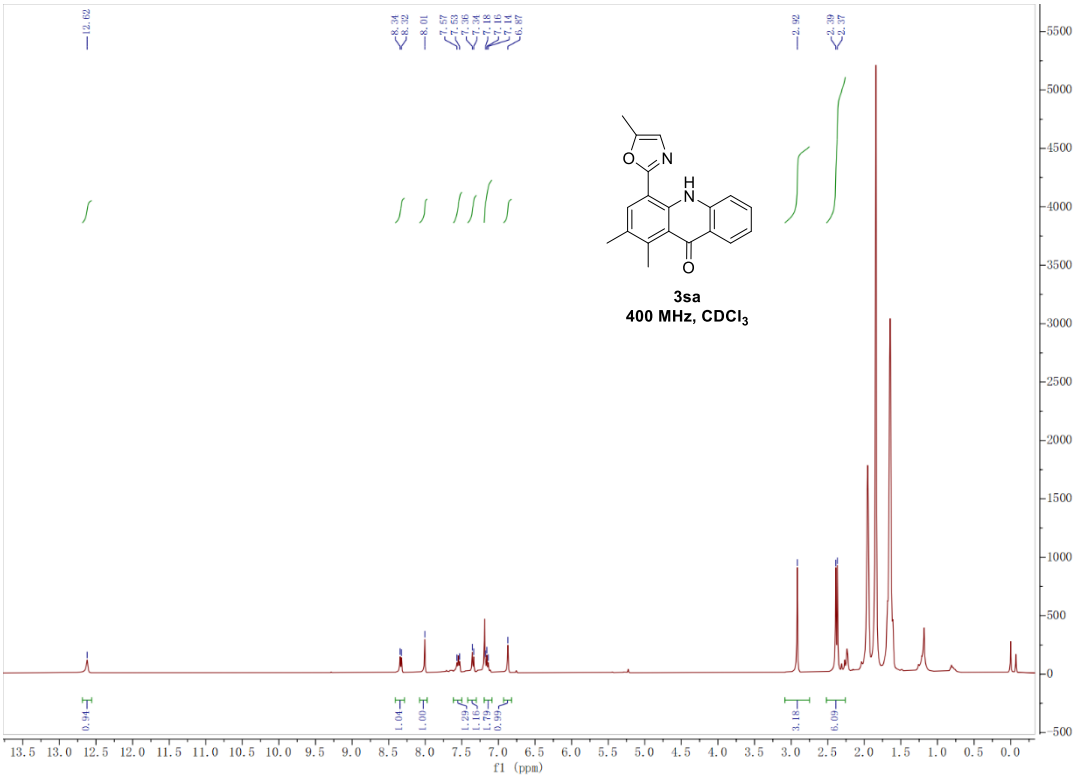
3ma
151 MHz, $CDCl_3$


12.770
8.443
8.423
8.394
8.372
7.703
7.683
7.663
7.577
7.555
7.452
7.431
7.321
7.303
7.284
7.092
2.517
O
N
H
N
Br
O
3na
400 MHz, CDCl3
1.12
2.00
1.21
1.19
1.24
1.36
1.16
3.00
f1 (ppm)

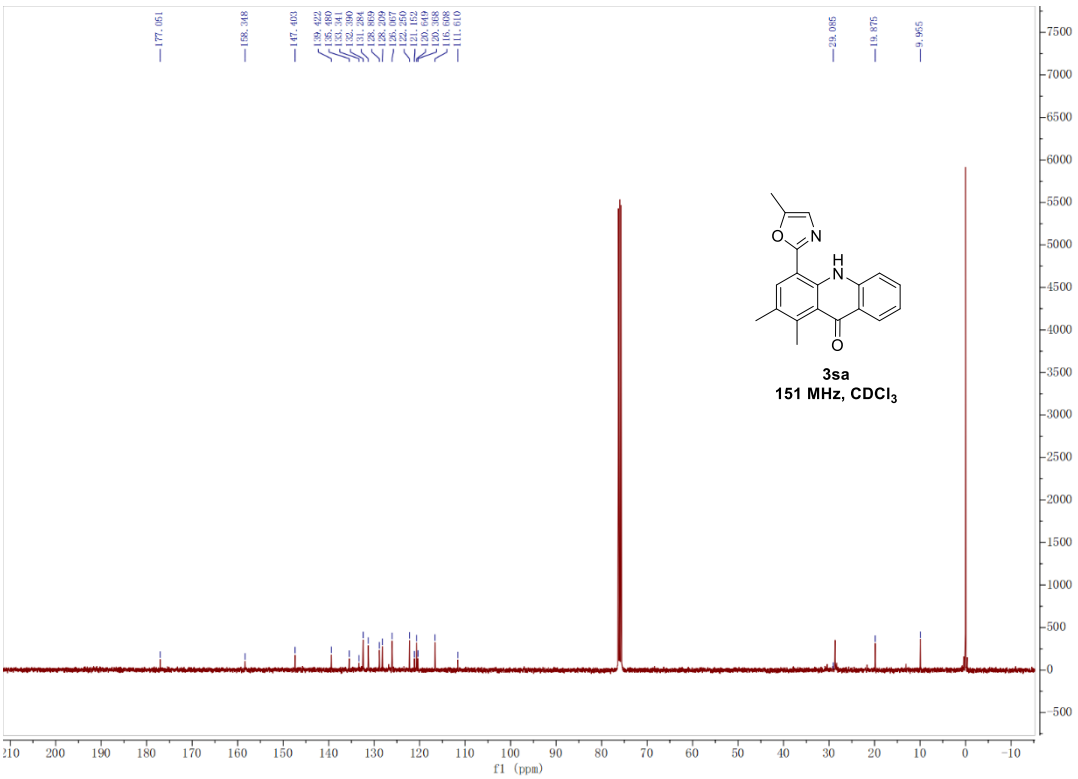

178.835
159.830
149.186
141.118
138.820
134.297
131.737
130.297
127.711
123.906
122.905
122.034
121.440
120.889
118.305
113.353
11.636
O
N
H
N
Br
O
3na
151 MHz, CDCl3
f1 (ppm)


12.777
8.451
8.431
8.401
8.380
7.710
7.704
7.671
7.584
7.583
7.469
7.439
7.329
7.310
7.304
7.109
2.524
O
N
H
I
O
3oa
400 MHz, CDCl3
0.96
2.00
1.23
1.15
1.24
1.40
1.24
3.10
f1 (ppm)

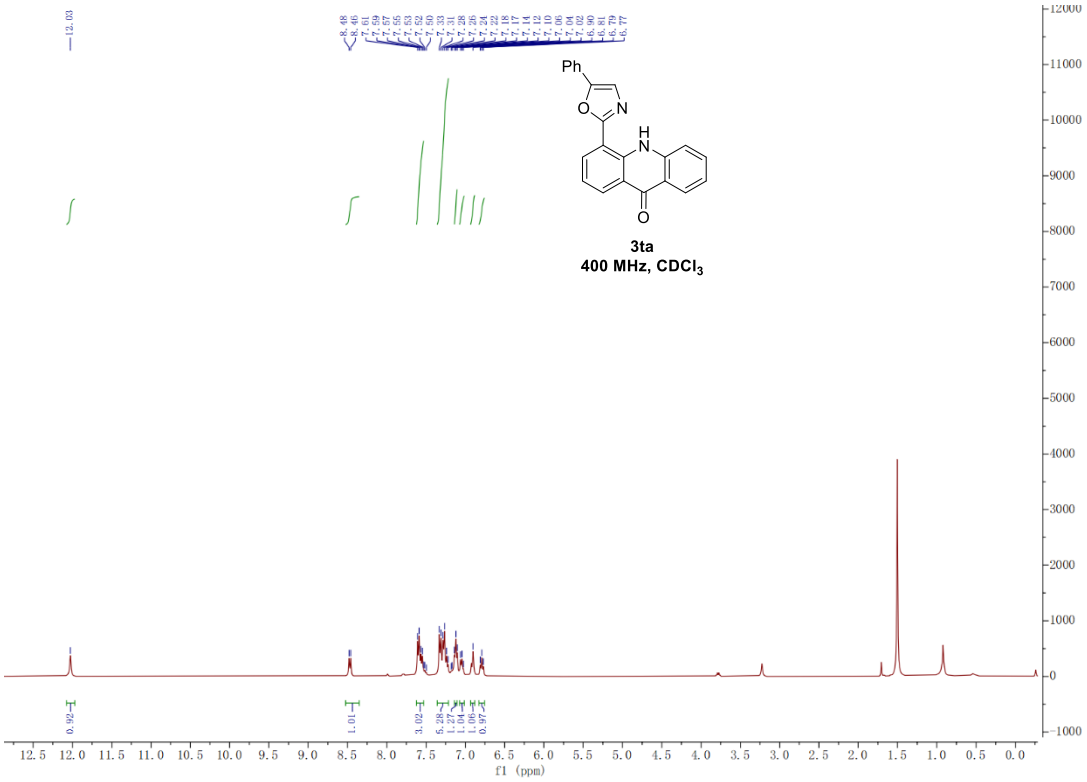

176.75
161.54
148.42
139.94
139.31
133.67
132.94
131.08
130.96
127.12
126.53
121.83
121.76
120.85
119.02
118.82
117.05
109.40
109.17
10.38
O
N
H
I
O
3oa
151 MHz, CDCl3
f1 (ppm)



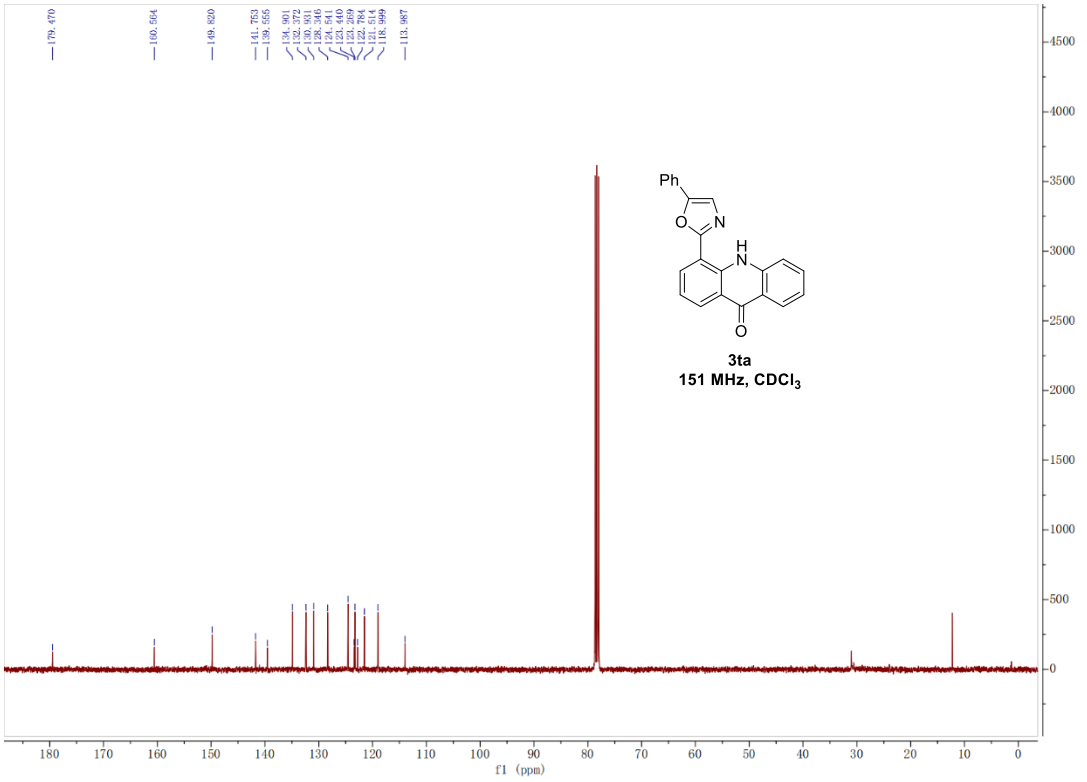
3sa
400 MHz, $CDCl_3$



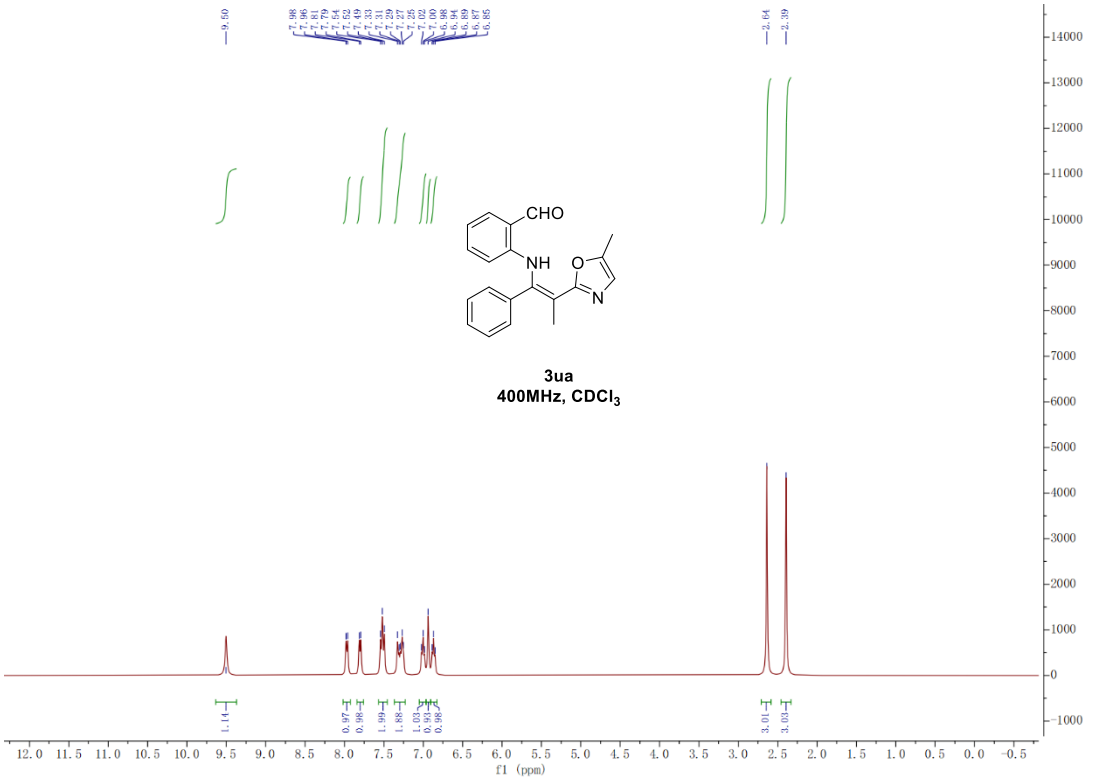
3sa
151 MHz, $CDCl_3$

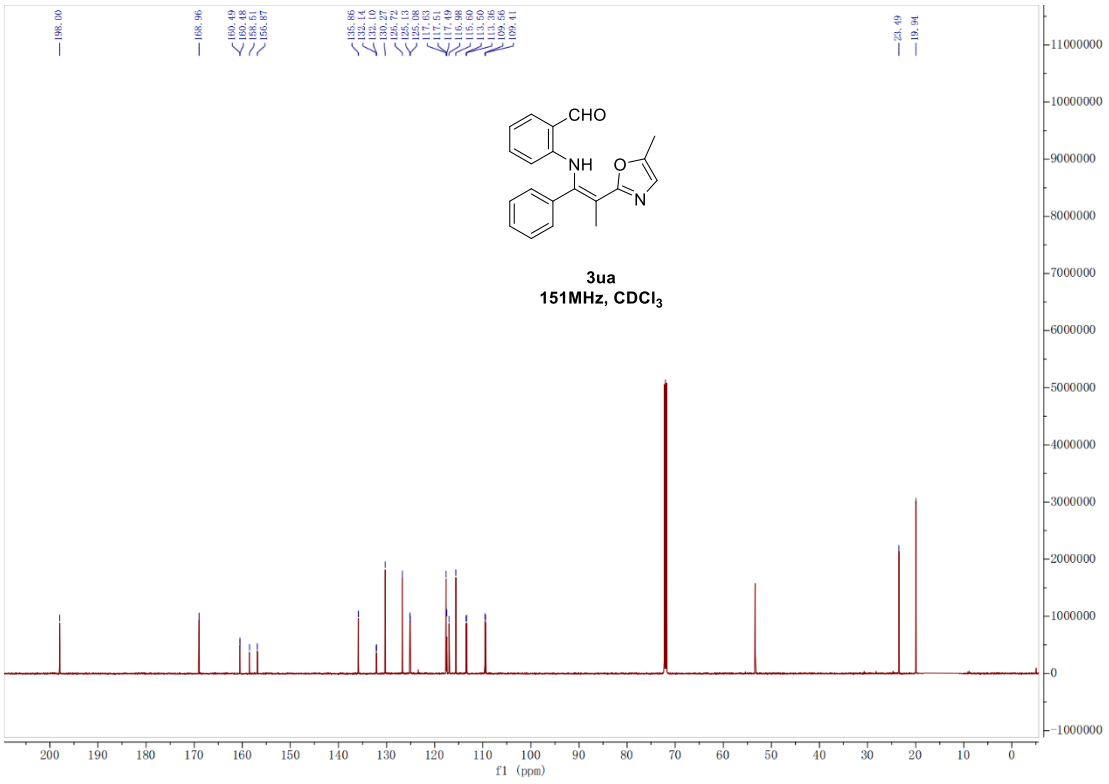


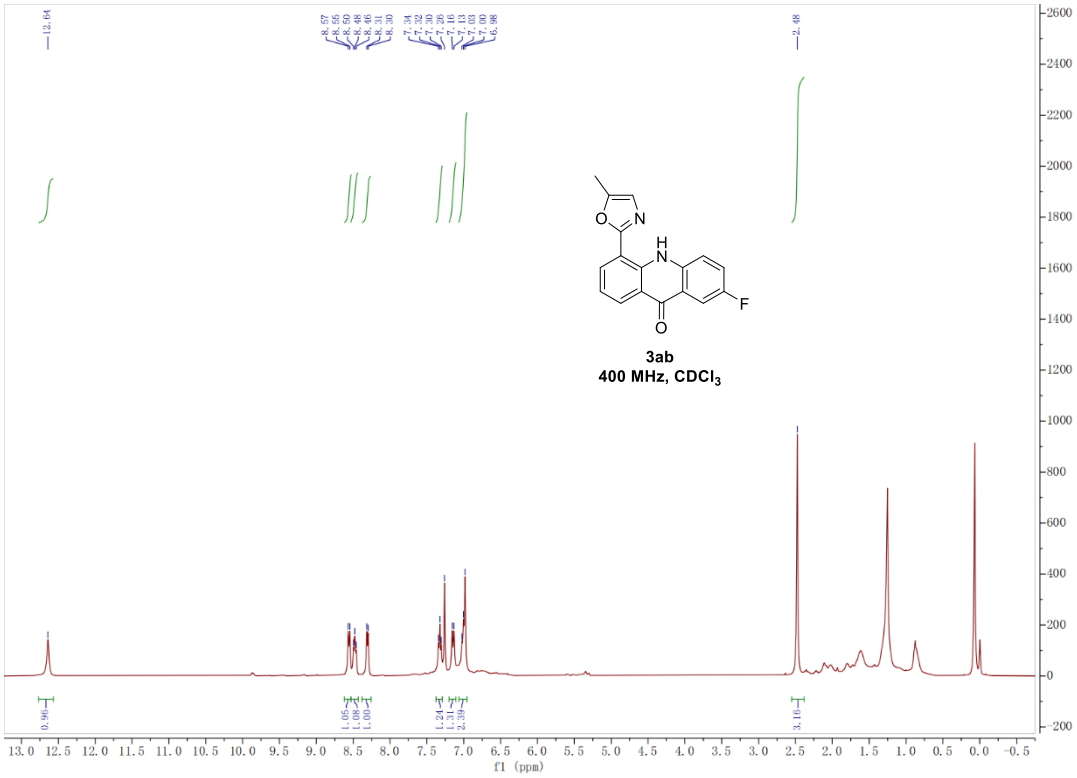
3ta
400 MHz, $CDCl_3$

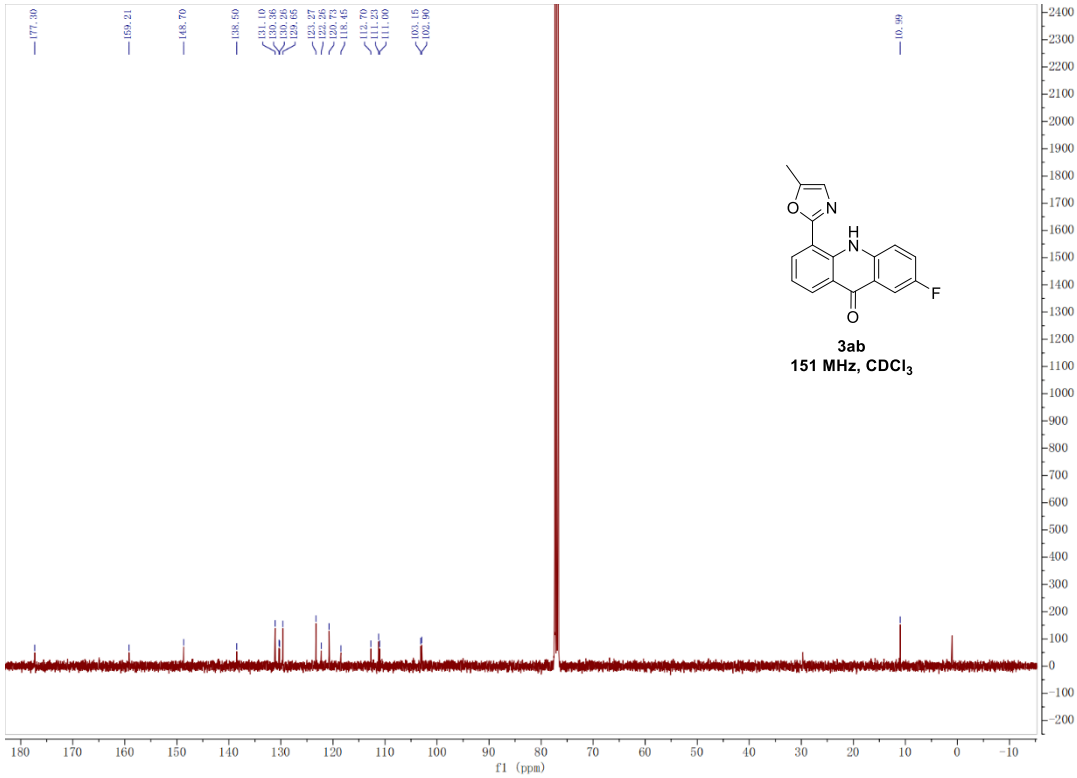


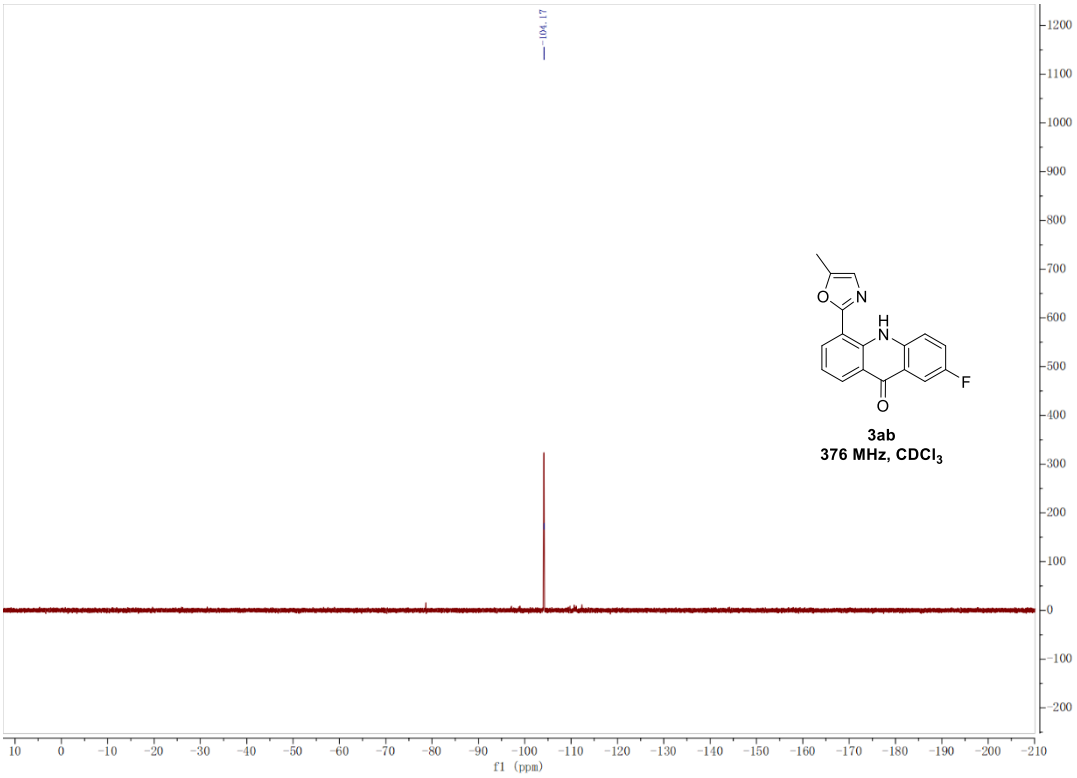
3ta
151 MHz, $CDCl_3$


9.50
7.98
7.96
7.81
7.79
7.54
7.52
7.49
7.33
7.31
7.29
7.27
7.25
7.02
7.00
6.98
6.94
6.89
6.87
6.85
2.64
2.39
CHO
NH
O
N
3ua
400MHz, CDCl3
f1 (ppm)

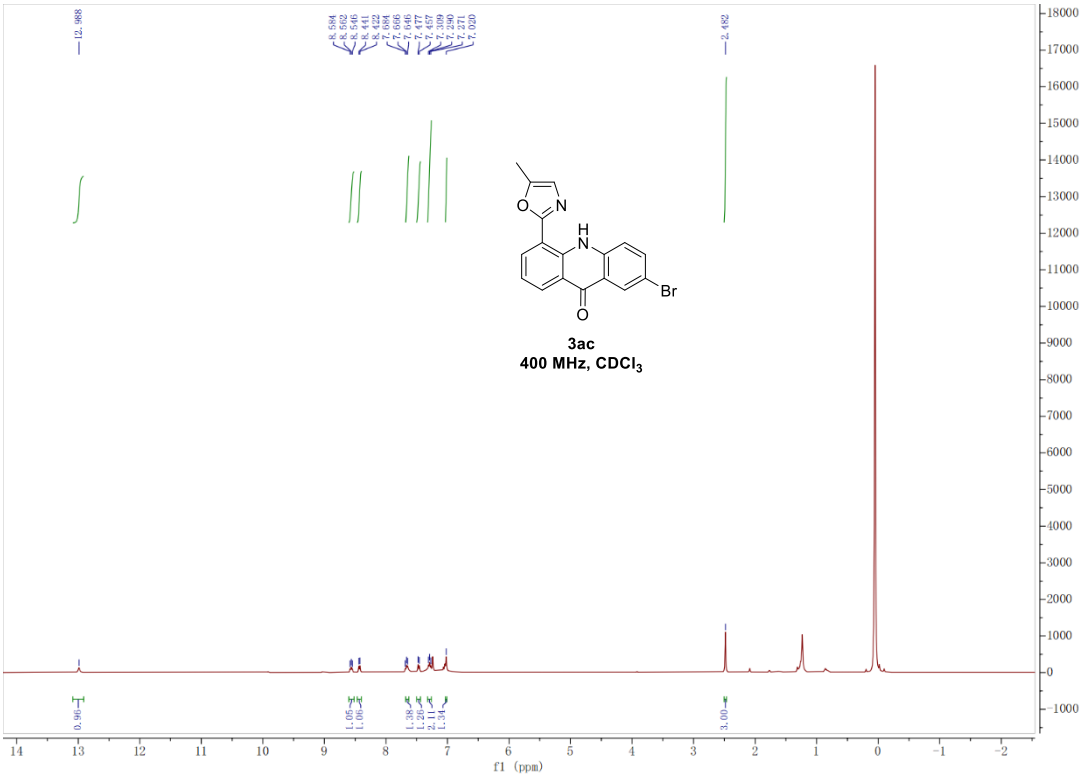

198.00
168.96
160.49
160.48
156.51
156.87
135.86
132.14
132.10
130.27
125.72
125.15
125.08
117.63
117.51
117.49
116.98
115.60
113.59
113.36
109.56
109.41
23.49
19.94
CHO
NH
O
N
3ua
151MHz, CDCl3
f1 (ppm)


12.64
8.67
8.65
8.50
8.48
8.46
8.31
8.30
7.34
7.32
7.30
7.26
7.16
7.15
7.03
7.00
6.98
2.48
O
N
H
F
O
3ab
400 MHz, CDCl3
0.96
1.05
1.08
1.00
1.24
1.31
2.30
3.16
f1 (ppm)

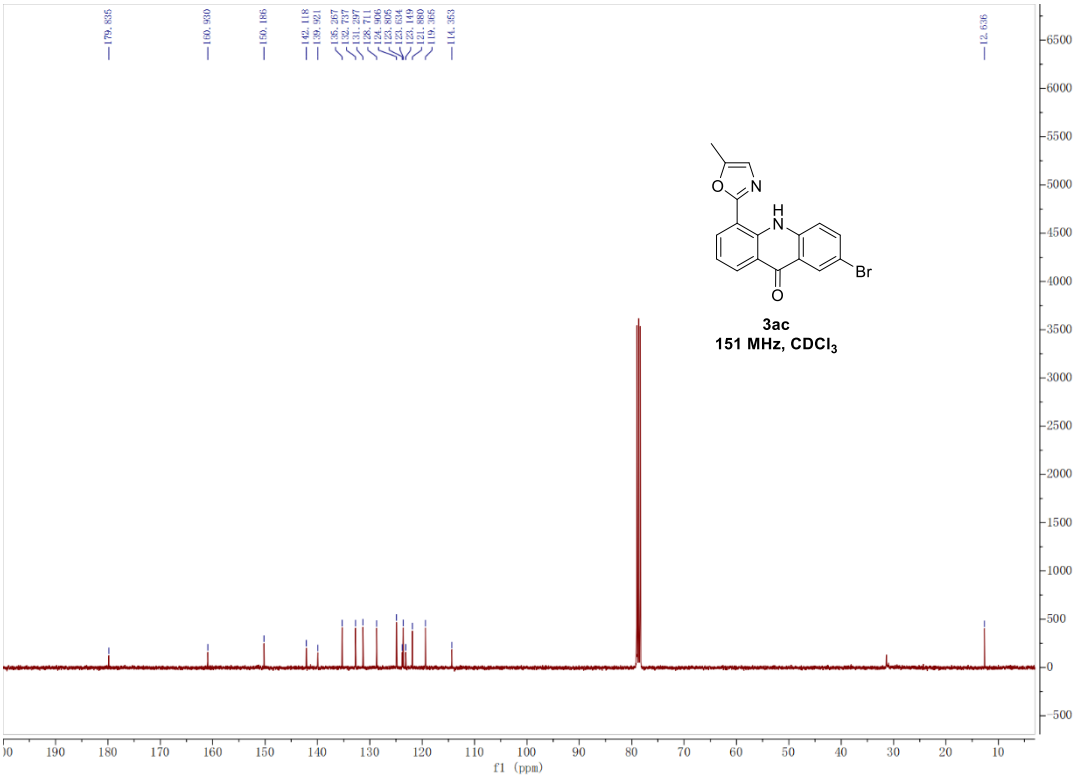

177.30
159.21
148.70
138.59
131.10
130.36
130.25
129.95
123.27
122.36
120.73
118.45
112.70
111.23
111.00
103.15
102.90
10.89
O
N
H
F
O
3ab
151 MHz, CDCl3
f1 (ppm)

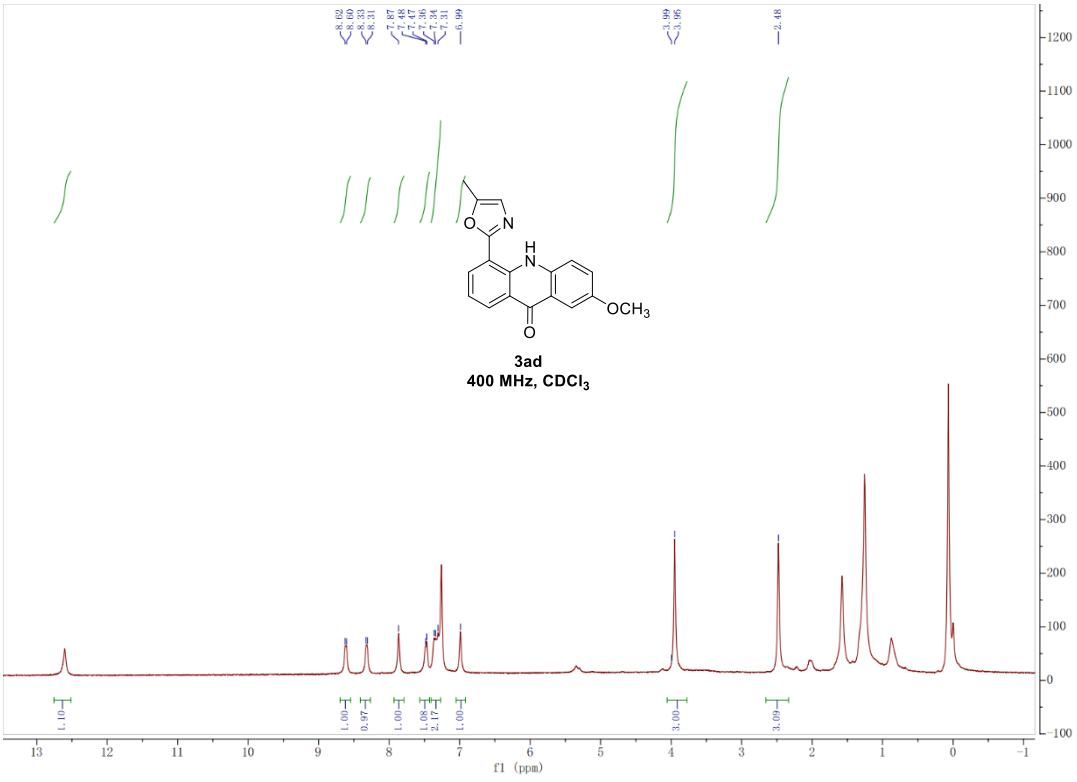


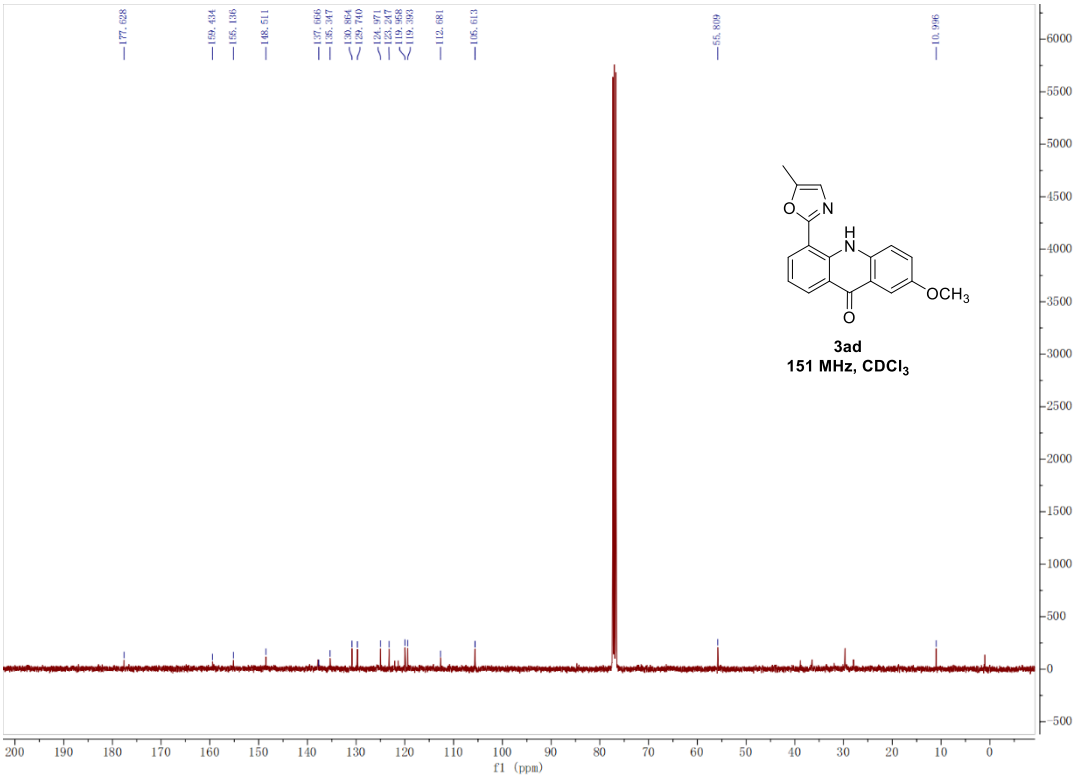
3ab
376 MHz, $CDCl_3$



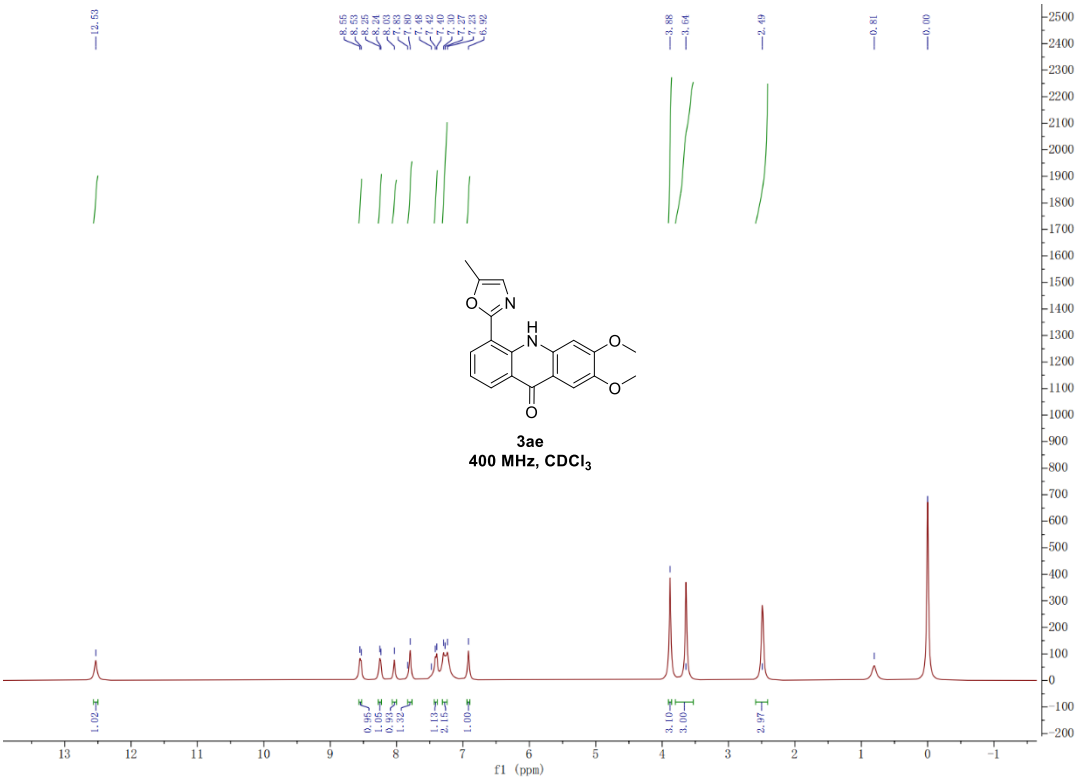
3ac
400 MHz, $CDCl_3$


179.835
160.930
150.186
142.118
139.921
135.267
132.737
131.297
128.711
124.996
123.895
123.634
123.149
121.980
119.365
114.353
12.636
O
N
H
N
Br
O
3ac
151 MHz, CDCl3
f1 (ppm)

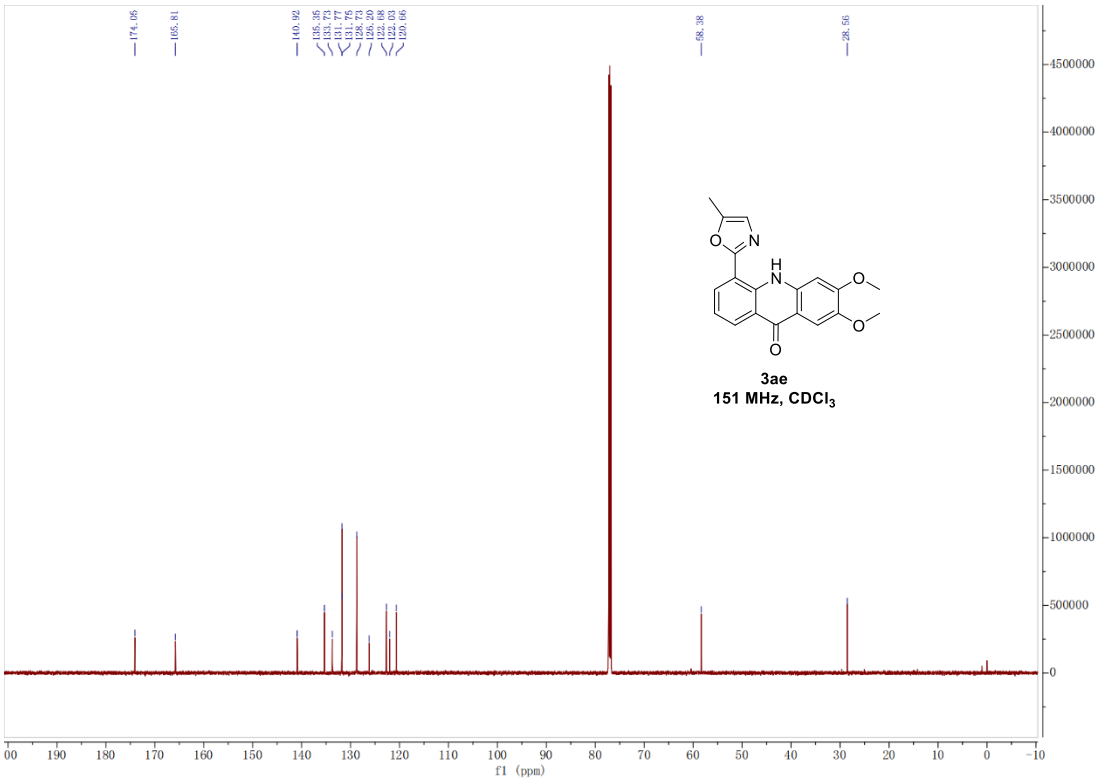

8.62
8.60
8.33
8.31
7.87
7.48
7.47
7.36
7.34
7.31
6.99
3.99
3.96
2.48
O
N
H
N
OCH3
O
3ad
400 MHz, CDCl3
1.10
1.00
0.97
1.00
1.08
2.17
1.00
3.00
3.09
f1 (ppm)

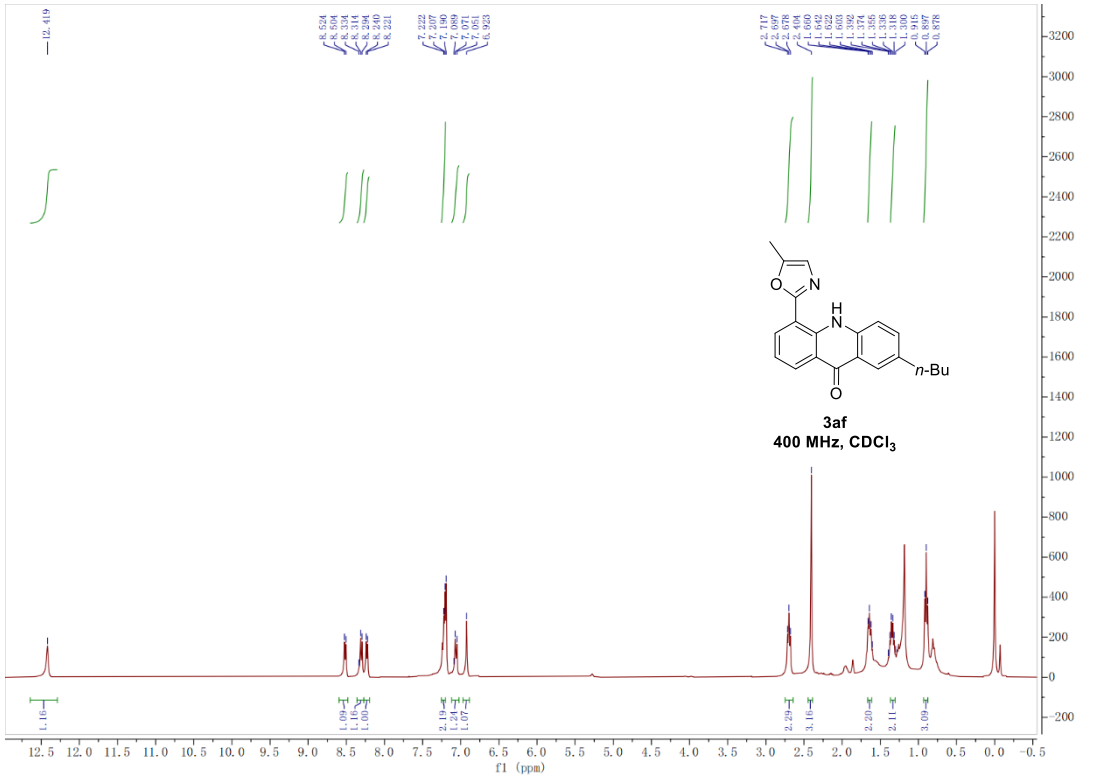


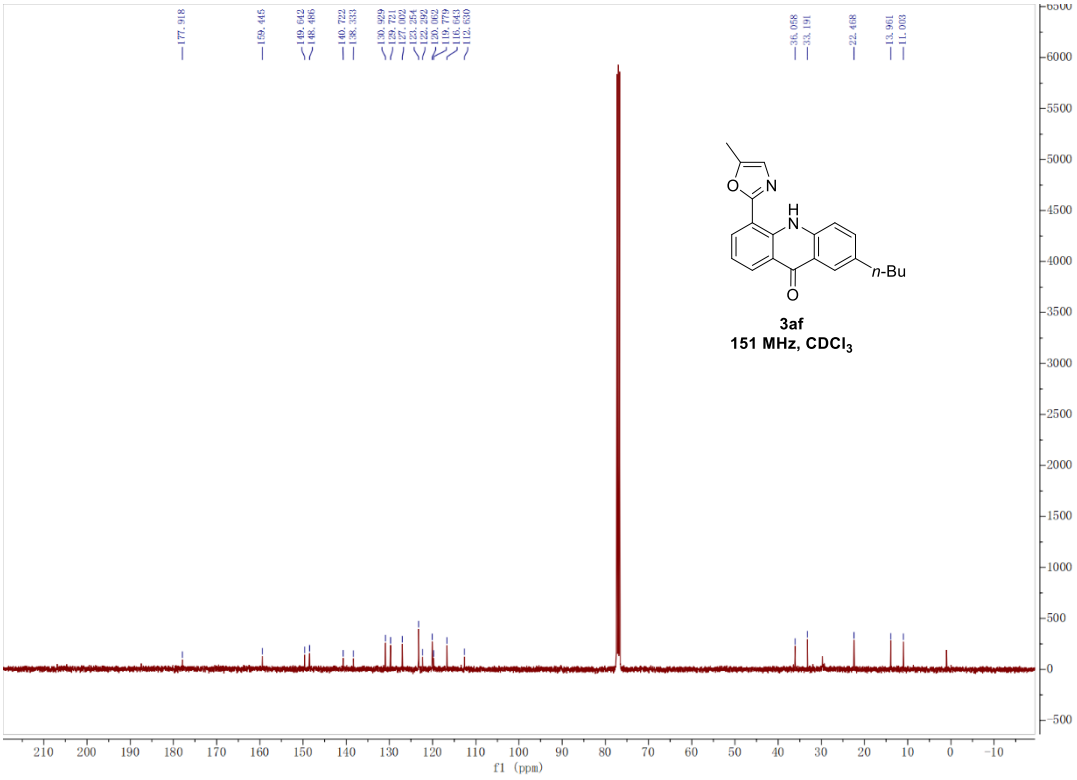
3ad
151 MHz, $CDCl_3$

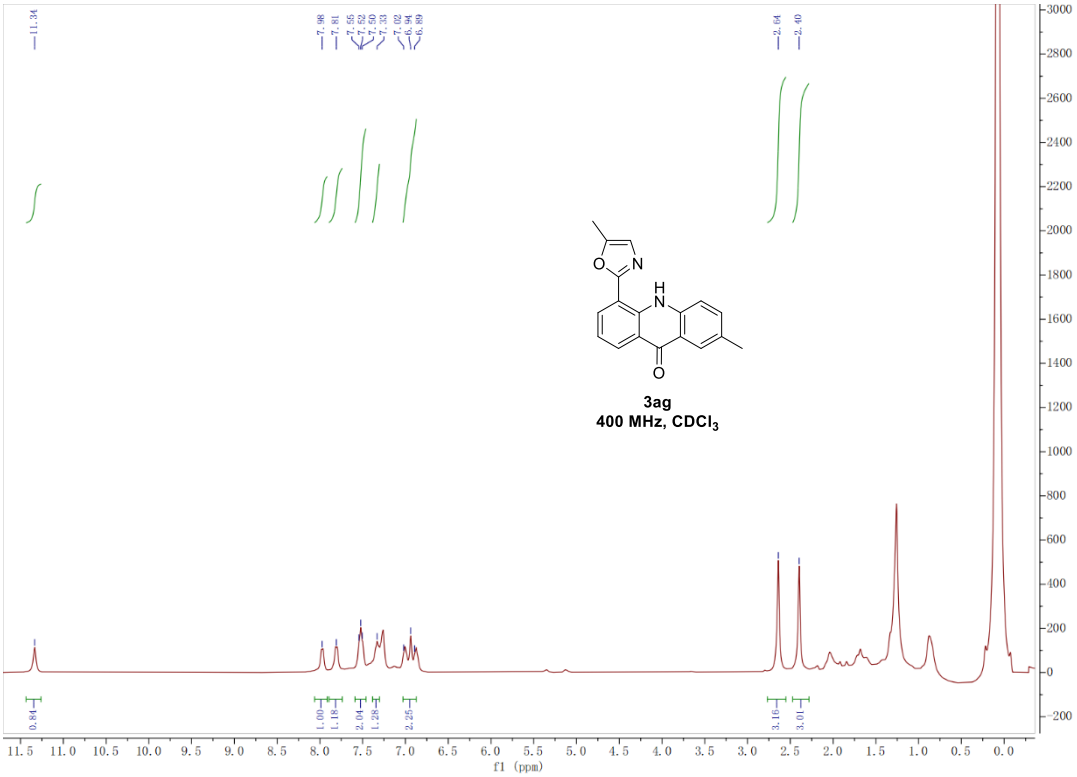


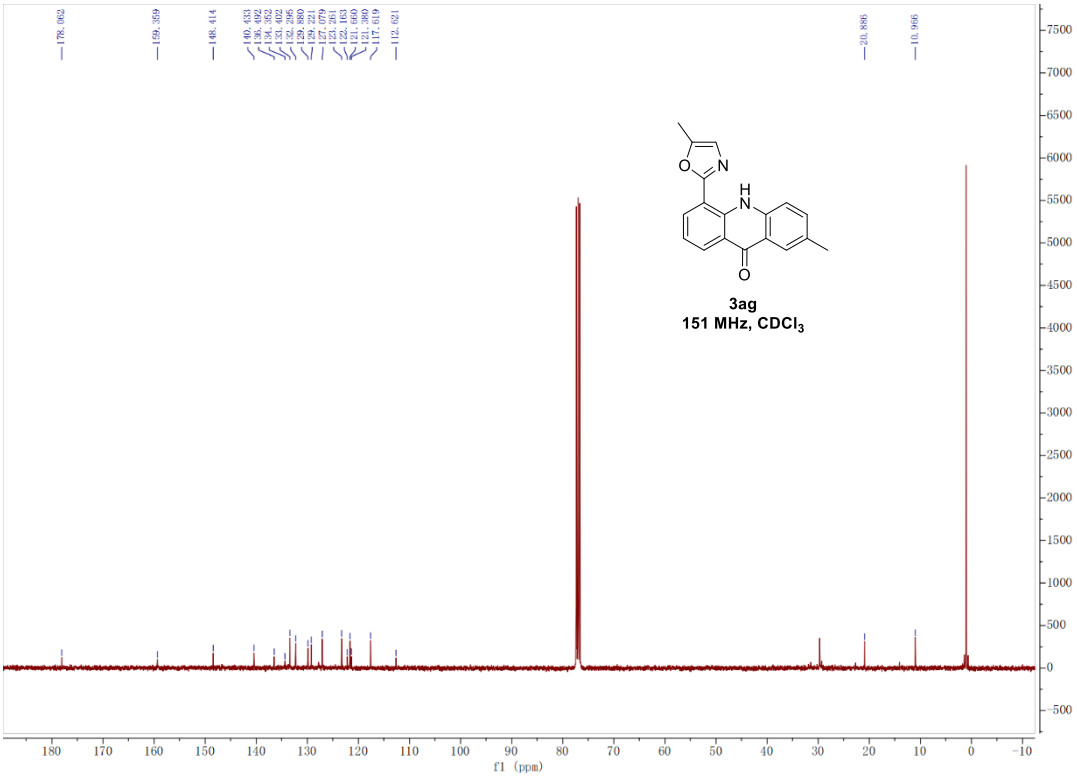
3ae
400 MHz, $CDCl_3$

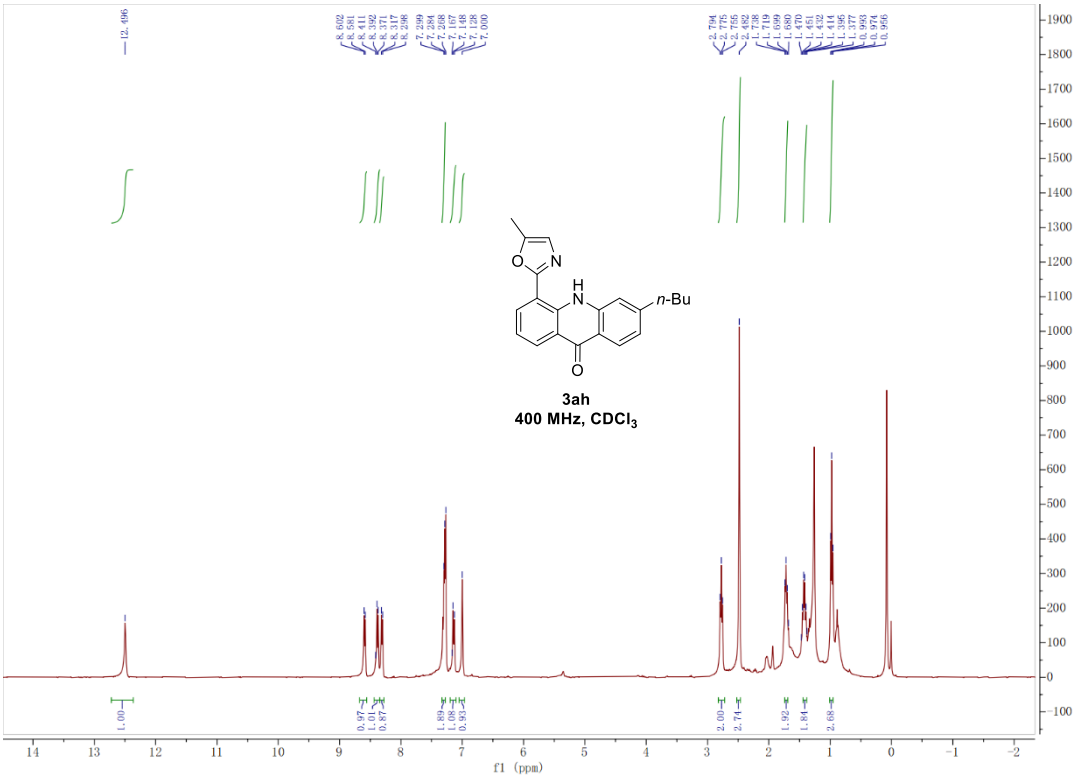

174.06
165.81
140.92
135.35
133.73
131.77
131.75
128.73
126.20
122.68
122.03
120.66
68.38
28.56
O
N
H
O
O
O
3ae
151 MHz, CDCl3
f1 (ppm)

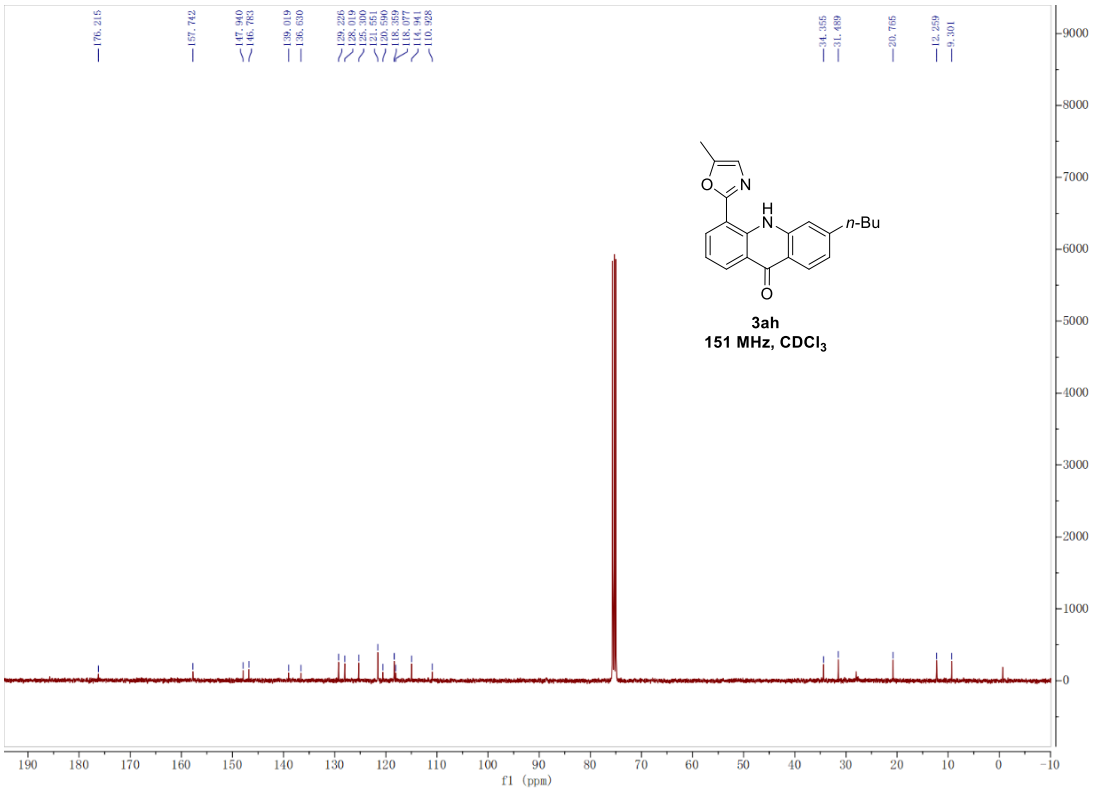

12.419
8.534
8.504
8.334
8.314
8.240
8.221
7.222
7.207
7.190
7.099
7.071
7.051
6.923
2.717
2.697
2.678
2.494
1.660
1.642
1.622
1.606
1.392
1.374
1.335
1.318
1.300
0.945
0.927
0.878
O
N
H
O
n-Bu
3af
400 MHz, CDCl3
f1 (ppm)

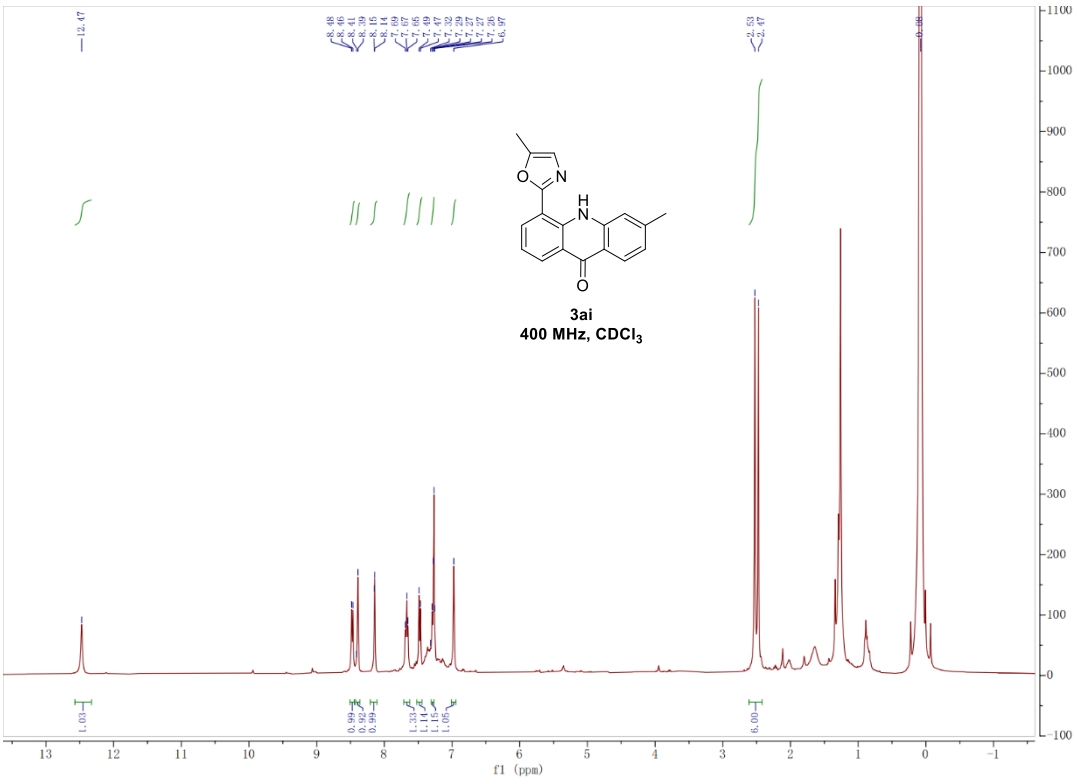

177.918
159.445
149.642
148.486
140.722
138.333
130.929
129.771
127.002
123.254
122.292
120.092
118.779
116.643
112.630
36.058
33.191
22.468
13.961
11.003
n-Bu
3af
151 MHz, CDCl3
f1 (ppm)

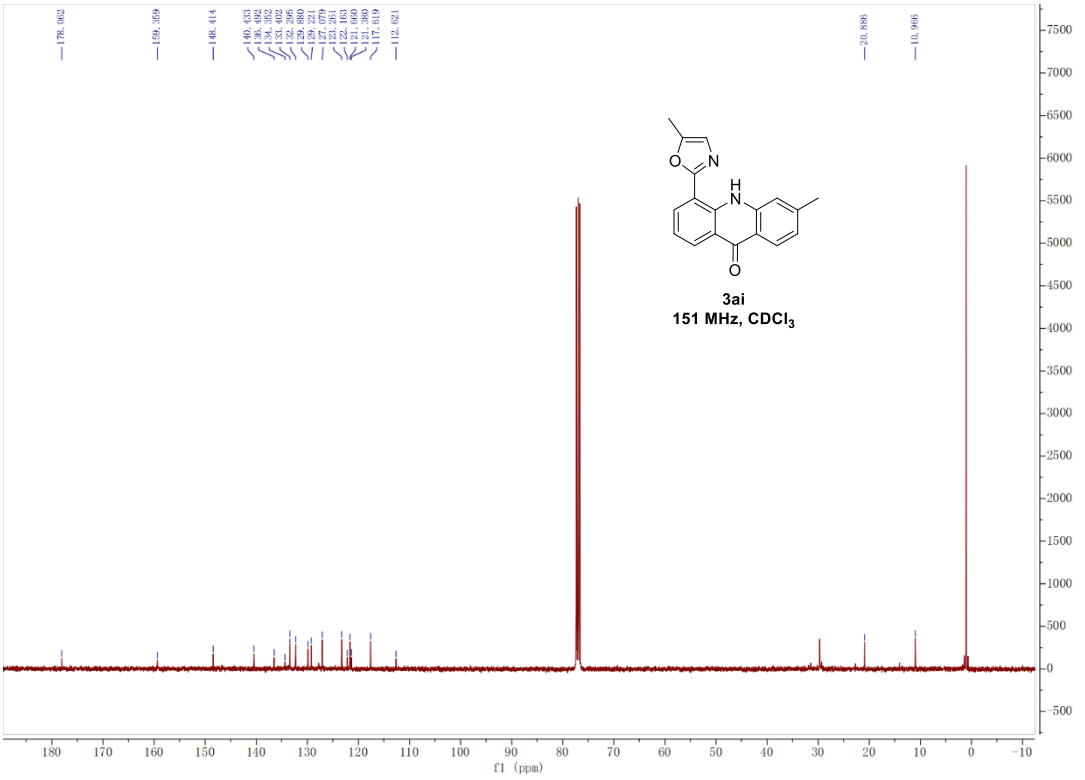

11.34
7.98
7.81
7.65
7.59
7.50
7.33
7.02
6.94
6.89
2.64
2.40
0.84
1.00
1.18
2.04
1.28
2.25
3.16
3.01
3ag
400 MHz, CDCl3
f1 (ppm)

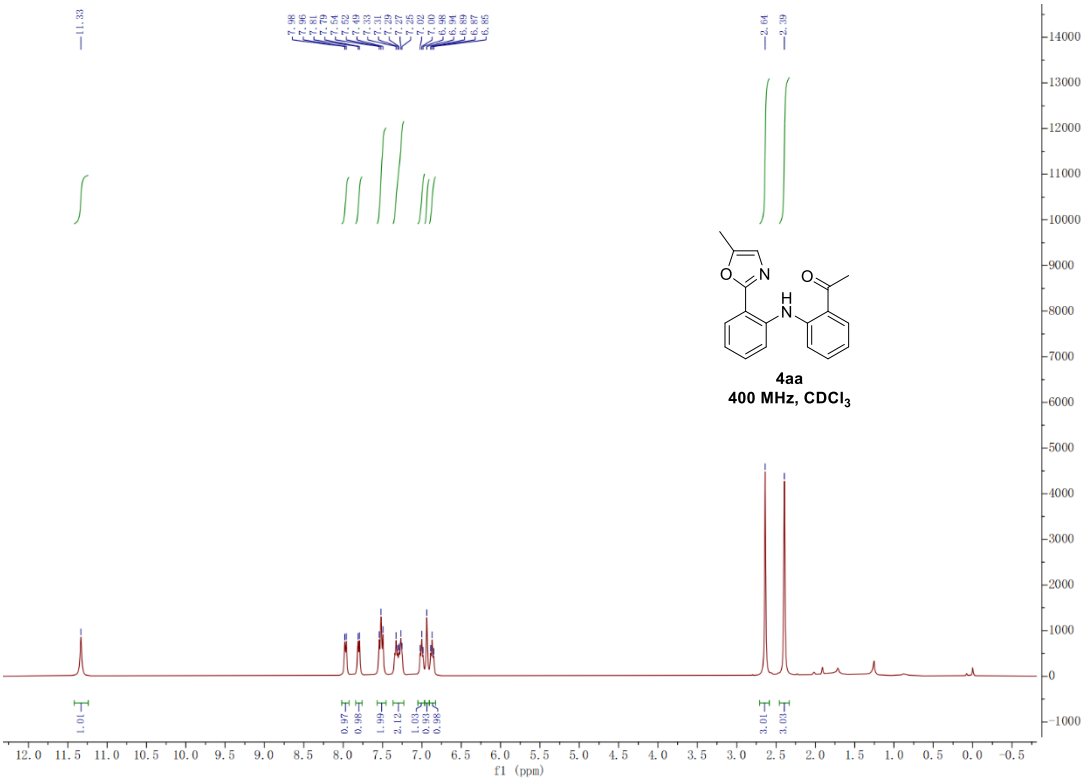

178.062
159.359
148.414
140.433
136.492
134.352
133.602
132.295
129.886
129.221
127.979
125.251
122.163
121.660
121.389
117.619
112.621
20.885
10.966
3ag
151 MHz, CDCl3
f1 (ppm)

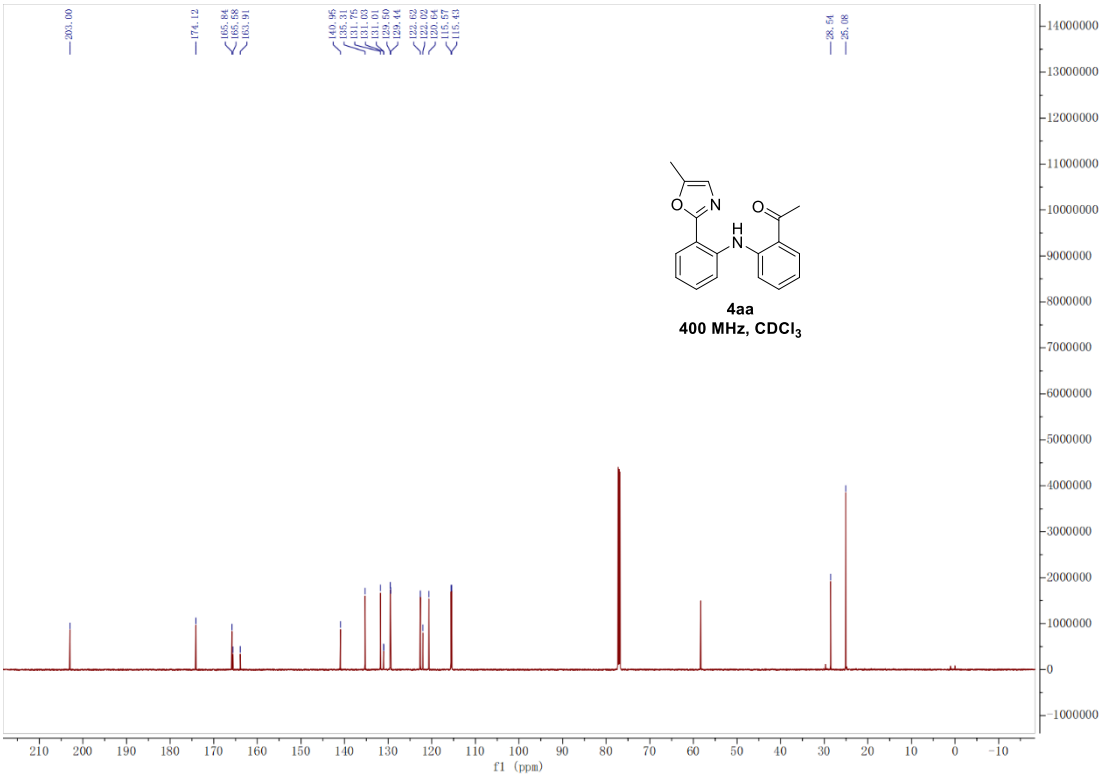

12.496
8.602
8.601
8.411
8.392
8.371
8.317
8.298
7.299
7.284
7.268
7.167
7.148
7.138
7.000
2.794
2.775
2.765
2.482
2.738
1.719
1.699
1.680
1.470
1.451
1.432
1.414
1.395
1.377
0.993
0.974
0.956
n-Bu
3ah
400 MHz, CDCl3
f1 (ppm)

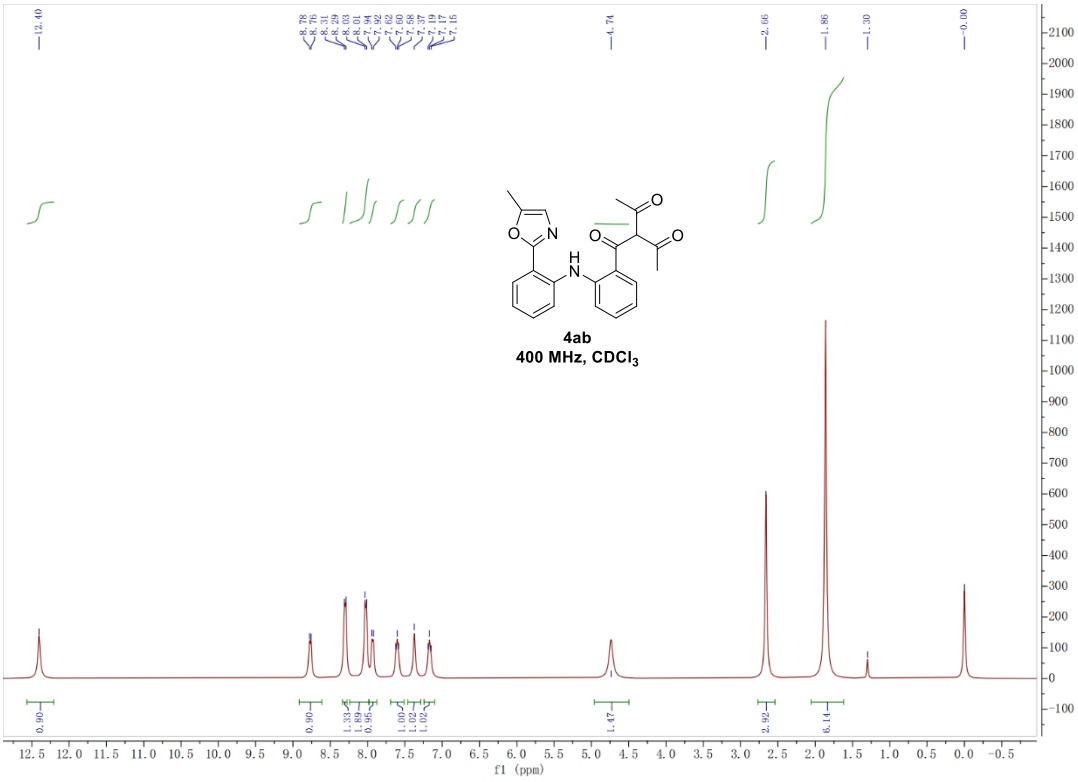

176.215
157.742
147.949
146.783
139.019
136.630
129.226
128.019
125.309
121.551
120.590
118.389
116.977
114.941
110.928
34.355
31.489
20.765
12.259
9.391
n-Bu
3ah
151 MHz, CDCl3
f1 (ppm)


12.47
8.48
8.46
8.41
8.39
8.15
8.14
7.69
7.67
7.65
7.49
7.47
7.32
7.29
7.27
7.27
7.26
6.47
2.53
2.47
0.08
3ai
400 MHz, CDCl3
f1 (ppm)


178.062
159.359
148.414
140.433
136.492
134.352
133.602
132.295
129.886
129.221
127.979
125.251
122.163
121.660
121.389
117.619
112.621
20.885
10.966
3ai
151 MHz, CDCl3
f1 (ppm)


11.33
7.98
7.96
7.81
7.79
7.54
7.52
7.49
7.33
7.31
7.29
7.27
7.25
7.02
7.00
6.98
6.94
6.89
6.87
6.85
2.64
2.39
4aa
400 MHz, CDCl3
1.01
0.97
0.98
1.99
2.12
1.03
0.93
0.88
3.01
3.03
f1 (ppm)


203.00
174.12
165.84
165.58
163.91
149.95
135.31
131.75
131.03
131.01
129.59
129.44
122.62
122.02
120.64
115.57
115.43
28.54
25.08
4aa
400 MHz, CDCl3
f1 (ppm)


12.49
8.78
8.76
8.31
8.29
8.03
8.01
7.94
7.92
7.62
7.60
7.08
7.07
7.19
7.17
7.15
4.74
2.66
1.86
1.30
0.09
4ab
400 MHz, CDCl3
f1 (ppm)