Supporting Information

Synthesis and photophysical properties of acridine derivatives

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Contents of Supporting Information

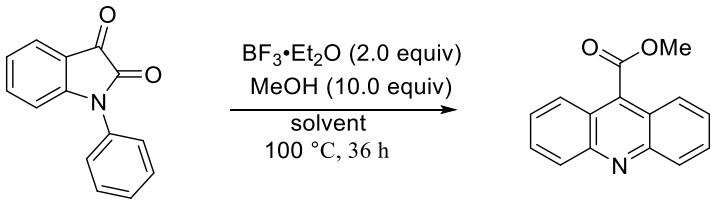
Experiment Section

General Methods. All Reactions were performed in sealed tube (capacity 10 mL). Fluorescence spectra were recorded on a LS55 spectrofluorometer (PerkinElmer Company). UV-visible absorption spectra were acquired with a Lambda-35 UV-visible Spectrophotometer (PerkinElmer Company). IR spectra were obtained with a Shimadzu Fourier Transform Infrared Spectrometer using KBr pellets. NMR spectra were recorded on Bruker Ascend IIITM 600 MHz NMR spectrometer, for example $CDCl_3$ solutions. High resolution mass spectra were performed on Q EXACTIVE. X-ray diffraction was obtained by D8 QUEST. TLC analyses were performed on commercial glass plates bearing 0.25 mm layer of Merck Silica gel GF_{254}. Silica gel (Wakogel 300 - 400 mesh) was used for column chromatography. Visualization of the developed chromatogram was performed by fluorescence quenching or $KMnO_4$ staining.

Unless otherwise noted, materials obtained from commercial suppliers were used without further purification. 1-phenylindoline-2,3-dione (**7a**), CF_3SO_3H, MeOH and HFIP were purchased from Innochem Co., Ltd. and Shanghai Titan Scientific Co., Ltd. All substituted 1-phenylisatin were synthesized from the corresponding phenylboronic acid with isatin.[1] All reactions were carried out under an air atmosphere.

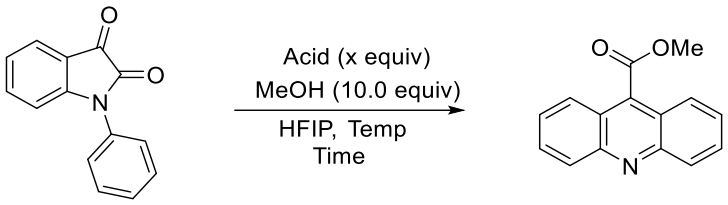
Reaction Optimization

Table S1. Screening of solvent.



entry	Acid	solvent	Yield(%)
1	$BF_3{\cdot}Et_2O$	MeOH	10
2	$BF_3{\cdot}Et_2O$	t-Amyl alcohol	0
3	$BF_3{\cdot}Et_2O$	DCE	11
4	$BF_3{\cdot}Et_2O$	TCE	13
5	$BF_3{\cdot}Et_2O$	DCM	14
6	$BF_3{\cdot}Et_2O$	DMF	4
7	$BF_3{\cdot}Et_2O$	Toluene	16
8	$BF_3{\cdot}Et_2O$	CH_3CN	5
9	$BF_3{\cdot}Et_2O$	DMSO	1
10	$BF_3{\cdot}Et_2O$	THF	3
11	$BF_3{\cdot}Et_2O$	HFIP	40
12	$BF_3{\cdot}Et_2O$	Toluene	15
13	$BF_3{\cdot}Et_2O$	Toluene/HFIP (1:1)	17

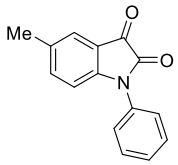
Table S2. Screening of temperature time and acid



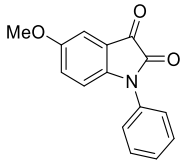
entry	Acid	Temp	Time (h)	Yield(%)
1	BF_3·Et_2O (5.0)	100 °C	36	52
2	BF_3·Et_2O (5.0)	100 °C	24	54
3	*p*-TsOH·H_2O (5.0)	100 °C	24	81
4	$Al(OTf)_3$ (5.0)	100 °C	24	65
5	$BaCl_2$ (5.0)	100 °C	24	NR
6	CF_3SO_3H (5.0)	100 °C	24	85
7	$Bi(NO_3)_3·5H_2O$ (5.0)	100 °C	24	0
8	None	100 °C	24	NR
9	*p*-TsOH·H_2O (7.0)	100 °C	36	94
10	*p*-TsOH·H_2O (5.0)	100 °C	36	80
11	*p*-TsOH·H_2O (3.0)	100 °C	36	71
12	*p*-TsOH·H_2O (2.0)	100 °C	36	55
13	CF_3SO_3H (1.5)	100 °C	24	81
14	CF_3SO_3H (1.0)	100 °C	24	62
15	CF_3SO_3H (2.0)	100 °C	12	84
16	CF_3SO_3H (2.0)	100 °C	8	77
17	CF_3SO_3H (2.0)	80 °C	24	51

Preparation of substituted isatin

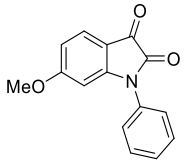
General Procedure for the Preparation of substituted isatin 7b-7x: A mixture of the substrate (1.0 equiv), arylboronic acid (2-3 equiv), anhydrous $Cu(OAc)_2$ (1-2 equiv), triethylamine or pyridine (2-3 equiv) in dichloromethane (10-12 ml/0.5 g of substrate) was stirred at room temperature for 24-72 h. The progress of the reaction was monitored by TLC. The products were isolated by direct flash clumn chromatography of the crude reaction.



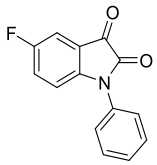
5-Methyl-1-phenylindoline-2,3-dione (7b): Yellow solid, mp 145-147 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.55 (t, *J* = 7.9 Hz, 2H), 7.50 (s, 1H), 7.44 (t, *J* = 7.5 Hz, 1H), 7.43 – 7.40 (m, 2H), 7.34 (dd, *J* = 8.1 and 1.0 Hz, 1H), 6.81 (d, *J* = 8.1 Hz, 1H), 2.36 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 183.1, 157.4, 149.5, 138.7, 134.2, 133.0, 129.9, 128.6, 125.83, 125.80, 117.5, 111.1, 20.7; HRMS (ESI+) Calcd for $C_{15}H_{12}NO_2$ $[M+H]^+$: 238.0868, Found 238.0862; IR (KBr) ν(cm^{-1}):1742, 1724, 1618, 1487, 1188, 745, 689.



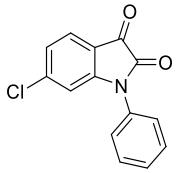
5-Methoxy-1-phenylindoline-2,3-dione (7c): Brown solid, mp 36-38 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.55 (t, *J* = 7.8 Hz, 2H), 7.47 – 7.38 (m, 3H), 7.22 (d, *J* = 2.7 Hz, 1H), 7.10 (dd, *J* = 8.7 and 2.7 Hz, 1H), 6.85 (d, *J* = 8.7 Hz, 1H), 3.83 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 183.2, 157.3, 156.8, 145.6, 133.0, 129.9, 128.6, 125.7, 125.0, 117.9, 112.4, 109.1, 56.0; HRMS (ESI+) Calcd for $C_{15}H_{12}NO_3$ $[M+H]^+$: 254.0817, Found 254.0811; IR (KBr) ν(cm^{-1}): 1736, 1722, 1626, 1491, 1287, 1188, 1009, 741, 471.



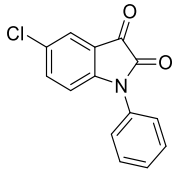
5-Methoxy-1-phenylindoline-2,3-dione (7d): Yellow solid, mp 43-45 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.67 (d, *J* = 8.5 Hz, 1H), 7.55 (t, *J* = 7.9 Hz, 2H), 7.45 (t, *J* = 7.5 Hz, 1H), 7.40 (d, *J* = 7.4 Hz, 2H), 6.61 (dd, *J* = 8.5 and 2.0 Hz, 1H), 6.35 (d, *J* = 2.1 Hz, 1H), 3.85 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) 180.1, 168.2, 158.7, 154.1, 132.8, 129.9, 128.7, 128.2, 126.1, 111.1, 109.0, 98.0, 56.1; HRMS (ESI+) Calcd for $C_{15}H_{11}NO_3Na$ $[M+Na]^+$: 276.0637, Found 276.0629; IR (KBr) ν(cm^{-1}): 1746, 1714, 1609, 1371, 1240, 1101, 754, 700, 486.



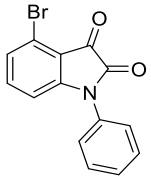
5-Fluoro-1-phenylindoline-2,3-dione (7e): Yellow solid, mp 34-36 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.57 (t, *J* = 7.8 Hz, 2H), 7.47 (t, *J* = 7.5 Hz, 1H), 7.43 – 7.38 (m, 3H), 7.26-7.24 (m, 1H), 6.88 (dd, *J* = 8.7 and 3.7 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 182.4 (d, *J* = 2.2 Hz), 159.5 (d, *J* = 246.5 Hz), 157.0 (d, *J* = 1.3 Hz), 147.7 (d, *J* = 2.0 Hz), 132.6, 130.1, 129.0, 125.9, 124.7 (d, *J* = 24.2 Hz), 118.0 (d, *J* = 7.1 Hz), 112.5 (d, *J* = 7.1 Hz), 112.4 (d, *J* = 24.2 Hz); ^{19}F NMR (564 MHz, $CDCl_3$) δ -119.70; HRMS (ESI+) Calcd for $C_{14}H_9FNO_2$ $[M+H]^+$: 242.0617, Found 242.0611; IR (KBr) ν(cm^{-1}): 1728, 1620, 1481, 1348, 1180, 758, 698.



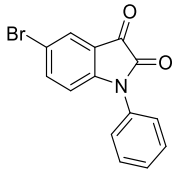
6-Chloro-1-phenylindoline-2,3-dione (7f): Yellow solid, mp 174-176 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.64 (d, *J* = 8.0 Hz, 1H), 7.58 (t, *J* = 7.8 Hz, 2H), 7.49 (t, *J* = 7.5 Hz, 1H), 7.43-7.37 (m, 2H), 7.15 (dd, *J* = 8.0 and 1.6 Hz, 1H), 6.89 (d, *J* = 1.6 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 181.4, 157.2, 152.5, 144.8, 132.3, 130.1, 129.2, 126.6, 125.9, 124.6, 115.7, 112.0 ; HRMS (ESI+) Calcd for $C_{14}H_9ClNO_2$ $[M+H]^+$: 258.0322, Found 258.0317; IR (KBr) ν(cm^{-1}):1744, 1607, 1366, 1157, 1070, 939, 733.



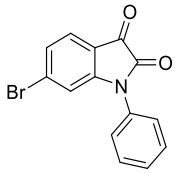
5-Chloro-1-phenylindoline-2,3-dione (7g): Yellow solid, mp 142-144 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.66 (d, *J* = 2.0 Hz, 1H), 7.57 (t, *J* = 7.8 Hz, 2H), 7.50 (dd, *J* = 8.5 and 2.2 Hz, 1H), 7.47 (t, *J* = 7.5 Hz, 1H), 7.40 (d, *J* = 7.4 Hz, 2H), 6.87 (d, *J* = 8.5 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 181.9, 156.7, 149.9, 137.7, 132.5, 130.09, 130.06 , 129.1, 125.9, 125.4, 118.3, 112.6; HRMS (ESI+) Calcd for $C_{14}H_9ClNO_2$ $[M+H]^+$: 258.0322, Found 258.0316; IR (KBr) ν(cm^{-1}):1734, 1603, 1468, 1346, 1190, 771.



4-Bromo-1-phenylindoline-2,3-dione (7h): Yellow solid, mp 111-113 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.57 (t, *J* = 7.8 Hz, 2H), 7.48 (t, *J* = 7.5 Hz, 1H), 7.39 (d, *J* = 7.4 Hz, 2H), 7.35 (t, *J* = 7.9 Hz, 1H), 7.31 (d, *J* = 8.0 Hz, 1H), 6.82 (d, *J* = 7.7 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) ^{13}C NMR (150 MHz, $CDCl_3$) δ 180.2, 156.4, 153.2, 138.3, 132.4, 130.1, 129.1, 128.9, 126.2, 121.8, 116.2, 110.0; HRMS (ESI+) Calcd for $C_{14}H_9BrNO_2$ $[M+H]^+$: 301.9817, Found 301.9811; IR (KBr) ν(cm^{-1}): 1734, 1599, 1441. 1258, 1167, 789, 696.

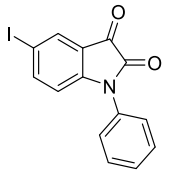


5-Bromo-1-phenylindoline-2,3-dione (7i): Dark red solid, mp 194-196 °C. ^{1}H NMR (600 MHz, DMSO-d_6) δ 7.82 (d, *J* = 2.0 Hz, 1H), 7.75 (dd, *J* = 8.4 and 2.1 Hz, 1H), 7.60 (t, *J* = 7.8 Hz, 2H), 7.50 (t, *J* = 7.4 Hz, 1H), 7.46 (d, *J* = 7.3 Hz, 2H), 6.77 (d, *J* = 8.5 Hz, 1H); ^{13}C NMR (150 MHz, DMSO-d_6) δ 181.6, 157.1, 150.1, 139.7, 133.1, 129.8, 128.6, 126.9, 126.5, 119.5, 115.2, 112.9; HRMS (ESI+) Calcd for $C_{14}H_9BrNO_2$ $[M+H]^+$: 301.9817, Found 301.9811; IR (KBr) ν(cm^{-1}):1744, 1609, 1464, 1348, 1287, 1190, 756.

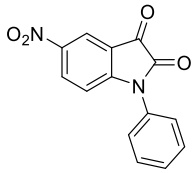


6-Bromo-1-phenylindoline-2,3-dione (7j): Reddish brown solid, mp 195-197 °C ； ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.59 (t, *J* = 7.8 Hz, 2H), 7.56 (d, *J* = 8.0 Hz, 1H), 7.49 (t, *J* = 7.5 Hz, 1H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.34 (dd, *J* = 8.0 and 1.1 Hz, 1H), 7.06 (d, *J* = 1.1 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 181.6,

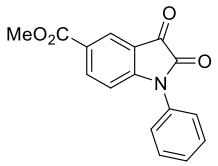
157.1, 152.3, 133.7, 132.3, 130.2, 129.2, 127.6, 126.6, 126.0, 116.1, 114.8; HRMS (ESI+) Calcd for $C_{14}H_9BrNO_2$ $[M+H]^+$: 301.9817, Found 301.9810; IR (KBr) ν(cm^{-1}): 1740, 1605, 1364, 1153, 1059, 935, 721.



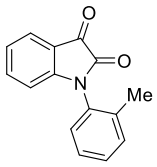
5-Iodo-1-phenylindoline-2,3-dione (7k): Brownish red, mp 166-168 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ 7.94 – 7.88 (m, 2H), 7.60 (t, *J* = 7.8 Hz, 2H), 7.49 (t, *J* = 7.5 Hz, 1H), 7.45 (d, *J* = 7.3 Hz, 2H), 6.66 (d, *J* = 8.2 Hz, 1H).^{13}C NMR (150 MHz, DMSO-d_6) δ 181.5, 156.8, 150.5, 145.5, 133.1, 132.3, 129.8, 128.6, 126.4, 119.8, 113.2, 86.5; HRMS (ESI+) Calcd for $C_{14}H_9INO_2$ $[M+H]^+$: 349.9678, Found 349.9672; IR (KBr) ν(cm^{-1}): 1738, 1603, 1499, 1190, 754, 465.



5-Nitro-1-phenylindoline-2,3-dione (7l): Yellow solid, mp 182-184 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.56 (d, *J* = 2.3 Hz, 1H), 8.46 (dd, *J* = 8.8 and 2.3 Hz, 1H), 7.62 (t, *J* = 7.7 Hz, 2H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 8.4 Hz, 2H), 7.04 (d, *J* = 8.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 180.9, 156.9, 155.5, 144.4, 133.5, 131.8, 130.4, 129.8, 126.0, 121.2, 117.0, 111.6; HRMS (ESI+) Calcd for $C_{14}H_9N_2O_4$ $[M+H]^+$: 269.0562, Found 269.0556; IR (KBr) ν(cm^{-1}):1753, 1611, 1493, 1346, 1275, 1074, 768.

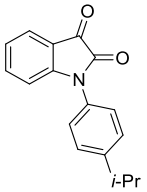


Methyl 2,3-dioxo-1-phenylindoline-5-carboxylate (7m): Yellow solid, mp 28-30 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.36 (d, *J* = 1.5 Hz, 1H), 8.25 (dd, *J* = 8.4 and 1.8 Hz, 1H), 7.59 (t, *J* = 7.8 Hz, 2H), 7.50 (t, *J* = 7.5 Hz, 1H), 7.41 (d, *J* = 7.3 Hz, 2H), 6.96 (d, *J* = 8.4 Hz, 1H) 3.94 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 181.9, 165.3, 157.3, 154.5, 139.8, 132.4, 130.1, 129.3, 127.0, 126.5, 126.0, 117.1, 111.1, 52.5; HRMS (ESI+) Calcd for $C_{16}H_{12}NO_4$ $[M+H]^+$: 282.0766, Found 282.0761; IR (KBr) ν(cm^{-1}):1751, 1721, 1620, 1354, 1260, 1121, 762.

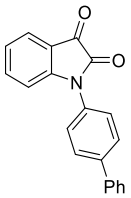


1-(O-tolyl)indoline-2,3-dione (7n): Yellow solid, mp 119-121 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.69 (d, *J* = 7.4 Hz, 1H), 7.51 (td, *J* = 7.8, 1.2 Hz, 1H), 7.42 – 7.39 (m, 2H), 7.38 – 7.33 (m, 1H), 7.25 (d, *J* = 7.6 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 1H), 6.55 (d, *J* = 8.0 Hz, 1H), 2.22 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$)

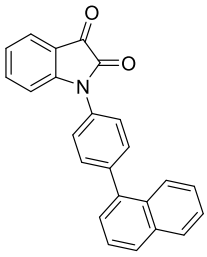
δ 183.0, 157.2, 151.9, 138.5, 136.3, 131.8, 131.6, 129.7, 127.53, 127.51, 125.5, 124.1, 117.4, 111.2, 17.9; HRMS (ESI+) Calcd for $C_{15}H_{12}NO_2$ $[M+H]^+$: 238.0868, Found 238.0862; IR (KBr) ν(cm^{-1}): 1734, 1607, 1466, 1362, 1186, 754.



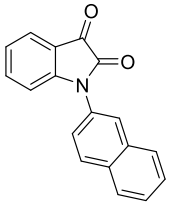
1-(4-Isopropylphenyl)indoline-2,3-dione (7o): Yellow solid ,mp 92-94 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.65 (d, *J* = 7.4 Hz, 1H), 7.52 (t, *J* = 7.8 Hz, 1H), 7.39 (d, *J* = 8.3 Hz, 2H), 7.31 (d, *J* = 8.3 Hz, 2H), 7.14 (t, *J* = 7.5 Hz, 1H), 6.89 (d, *J* = 8.0 Hz, 1H), 3.05 – 2.88 (m, 1H), 1.28 (d, *J* = 7.0 Hz, 6H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 183.0, 157.4, 151.8, 149.6, 138.3, 130.2, 127.9, 125.7, 125.4, 124.1, 117.3, 111.3, 33.9, 23.8; HRMS (ESI+) Calcd for $C_{17}H_{16}NO_2$ $[M+H]^+$: 266.1181, Found 266.1175; IR (KBr) ν(cm^{-1}):1732, 1609, 1466, 1369, 1190, 756.



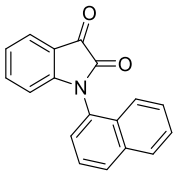
1-([1,1'-Biphenyl]-4-yl)indoline-2,3-dione (7p):.Yellow solid, mp 39-41 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.76 (d, *J* = 8.3 Hz, 2H), 7.72 (d, *J* = 7.4 Hz, 1H), 7.62 (d, *J* = 7.4 Hz, 2H), 7.57 (t, *J* = 7.7 Hz, 1H), 7.52 – 7.46 (m, 4H), 7.41 (t, *J* = 7.4 Hz, 1H), 7.19 (t, *J* = 7.5 Hz, 1H), 6.98 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 182.8, 157.4, 151.5, 141.8, 139.9, 138.4, 131.8, 128.9, 128.6, 127.9, 127.1, 126.2, 125.7, 124.4, 117.5, 111.3; HRMS (ESI+) Calcd for $C_{20}H_{14}NO_2$ $[M+H]^+$: 300.1025, Found 300.1018; IR (KBr) ν(cm^{-1}):1732, 1603, 1466, 1369, 1294, 1180, 764.



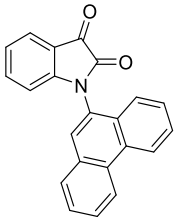
1-(4-(Naphthalen-1-yl)phenyl)indoline-2,3-dione (7q): Yellow solid, mp 47-49 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.94 (d, *J* = 8.5 Hz, 2H), 7.91 (d, *J* = 8.2 Hz, 1H), 7.74 (d, *J* = 8.1 Hz, 1H), 7.69 (d, *J* = 8.3 Hz, 2H), 7.60 (td, *J* = 7.9 and 1.2 Hz, 1H), 7.58 – 7.51 (m, 4H), 7.51-7.44 (m, 2H), 7.22 (t, *J* = 7.5 Hz, 1H), 7.07 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 182.9, 157.4, 151.6, 141.4, 138.8, 138.4, 133.7, 131.9, 131.5, 131.3, 128.4, 128.2, 127.1, 126.3, 126.0, 125.72 , 125.70, 125.6, 125.4, 124.4, 117.5, 111.4; HRMS (ESI+) Calcd for $C_{24}H_{16}NO_2$ $[M+H]^+$: 350.1181, Found 350.1174; IR (KBr) ν(cm^{-1}):1738, 1614, 1466, 1364, 1180, 752.



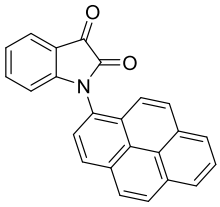
1-(Naphthalen-2-yl)indoline-2,3-dione (7r): Yellow solid, mp 125-127 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.03 (d, *J* = 8.6 Hz, 1H), 7.96 – 7.86 (m, 3H), 7.73 (d, *J* = 7.4 Hz, 1H), 7.63 – 7.53 (m, 3H), 7.49 (dd, *J* = 8.6, 2.0 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 1H), 6.95 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 182.9, 157.5, 151.7, 138.4, 133.5, 132.9, 130.13, 130.05, 128.0, 127.9, 127.1, 127.0, 125.6, 125.0, 124.4, 123.3, 117.5, 111.3; HRMS (ESI+) Calcd for $C_{18}H_{12}NO_2$ $[M+H]^+$: 274.0863.1181, Found 274.0864; IR (KBr) ν(cm^{-1}): 1726, 1605, 1470, 1182, 754.



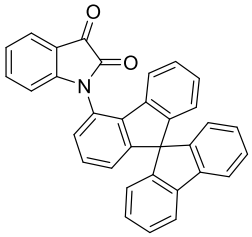
1-(Naphthalen-1-yl)indoline-2,3-dione (7s): This compound is known.[1] Yellow solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.02 (d, *J* = 8.3 Hz, 1H), 7.98 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 7.5 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.62 (t, *J* = 7.8 Hz, 1H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.54 (d, *J*=7.2Hz, 1H), 7.51 (t, *J*=7.2Hz, 1H), 7.45 (t, *J* = 7.8 Hz, 1H), 7.17 (t, *J* = 7.5 Hz, 1H), 6.44 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 182.9, 158.0, 152.6, 138.6, 134.8, 130.2, 129.31, 129.27, 128.8, 127.4, 126.9, 125.9, 125.8, 125.5, 124.2, 122.3, 117.4, 111.8; HRMS (ESI+) Calcd for $C_{18}H_{12}NO_2$ $[M+H]^+$: 274.0868, Found 274.0861; IR (KBr) ν(cm^{-1}):1736, 1609, 1470, 1304, 1184,1094, 772.



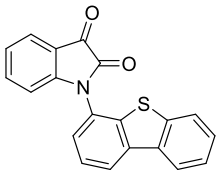
1-(Phenanthren-9-yl)indoline-2,3-dione (7t): Yellow solid, mp 199-201°C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.81 (d, *J* = 8.3 Hz, 1H), 8.76 (d, *J* = 8.3 Hz, 1H), 7.93 (d, *J* = 7.8 Hz, 1H), 7.87 (s, 1H), 7.82 – 7.72 (m, 4H), 7.67 (t, *J* = 7.9 Hz, 1H), 7.60 (t, *J* = 8.0 Hz, 1H), 7.45 (td, *J* = 7.9 and 1.3 Hz, 1H), 7.19 (td, *J* = 7.5, 0.9 Hz, 1H), 6.50 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 182.9, 158.2, 152.6, 138.6, 131.8, 131.0, 130.8, 129.1, 128.2, 128.0, 127.7, 127.6, 127.5, 127.4, 127.3, 125.5, 124.3, 123.5, 123.1, 122.8, 117.4, 111.9; HRMS (ESI+) Calcd for $C_{22}H_{14}NO_2$ $[M+H]^+$: 324.1025, Found 324.1025; IR (KBr) ν(cm^{-1}): 1732, 1604, 1466, 1364, 1301, 1179, 758.



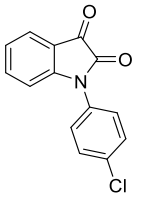
1-(4,5A1-dihydropyren-1-yl)indoline-2,3-dione (7u): Yellow solid, mp 67-69 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.34 (d, *J* = 8.0 Hz, 1H), 8.30 (d, *J* = 7.6 Hz, 1H), 8.26 (d, *J* = 7.5 Hz, 1H), 8.21 (d, *J* = 8.9 Hz, 1H), 8.14 (d, *J*=9.1 Hz, 1H), 8.12 (d, *J*=9.2 Hz, 1H), 8.09 (t, *J* = 7.6 Hz, 1H), 8.00 (d, *J* = 8.0 Hz, 1H), 7.91 (d, *J* = 9.1 Hz, 1H), 7.81 (dd, *J* = 7.6 and 0.8 Hz 1H), 7.46 (td, *J* = 7.9 and 1.3 Hz, 1H), 7.21 (t, *J* = 7.5 Hz, 1H), 6.47 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 183.1, 158.3, 152.8, 138.6, 132.2, 130.9, 130.7, 129.3, 128.8, 127.7, 127.0, 126.7, 126.3, 126.1, 126.0, 125.7, 125.6, 125.5, 125.2, 124.34, 124.32, 121.4, 117.6, 111.8; HRMS (ESI+) Calcd for $C_{24}H_{14}NO_2$ $[M+H]^+$: 348.1025, Found 348.1018; IR (KBr) ν(cm^{-1}): 1730, 1605, 1466, 1294, 1180, 843,752.



1-(9,9'-Spirobi[fluoren]-4-yl)indoline-2,3-dione (7v): Yellow solid, mp 243-245 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.00 (d, *J* = 8.1 Hz, 1H), 7.89 (d, *J* = 7.6 Hz, 1H), 7.82 (d, *J* = 7.5 Hz, 2H), 7.59 (d, *J* = 8.2 Hz, 1H), 7.46 – 7.34 (m, 5H), 7.20 – 7.11 (m, 3H), 7.07 (t, *J* = 7.6 Hz, 1H), 6.81 – 6.73 (m, 4H), 6.58 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 182.7, 157.1, 151.4, 150.6, 149.1, 147.7, 142.3, 141.7, 140.4, 138.24, 138.22, 131.9, 128.5, 128.00, 127.98., 127.96, 125.9, 125.4, 124.2, 124.1, 123.9, 121.3, 121.2, 120.3, 120.1, 117.3, 111.1, 65.9. HRMS (ESI+) Calcd for $C_{33}H_{19}NO_2Na$ $[M+Na]^+$: 484.1313, Found 484.1307; IR (KBr) ν(cm^{-1}):1744, 1614, 1468, 1362, 760, 729.



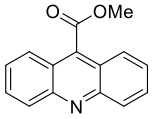
1-(Dibenzo[b,d]thiophen-4-yl)indoline-2,3-dione (7w): Brown solid, mp 199-203 °C; ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.56 (d, *J* = 7.9 Hz, 1H), 8.51 – 8.46 (m, 1H), 8.08 – 8.00 (m, 1H), 7.75 (t, *J* = 7.6 Hz, 2H), 7.69 (d, *J* = 7.6 Hz, 1H), 7.61 – 7.54 (m, 3H), 7.23 (t, *J* = 7.5 Hz, 1H), 6.61 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, DMSO-d_6) δ 182.2, 157.3, 150.0, 138.2, 138.1, 137.4, 136.7, 135.0, 128.2, 127.8, 126.5, 126.2, 125.2, 125.0, 124.0, 123.2, 122.9, 122.6, 118.0, 111.5; HRMS (ESI+) Calcd for $C_{20}H_{12}NO_2S$ $[M+H]^+$: 330.0589, Found 330.0582; IR (KBr) ν(cm^{-1}): 1738, 1611, 1464, 1364, 1300, 1159, 758.



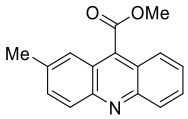
1-(4-Chlorophenyl)indoline-2,3-dione (7x): This compound is known.[1] Yellow solid; ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.7 (d, *J* = 7.4 Hz, 1H), 7.6 (td, *J* = 7.7 and 1.2 Hz, 1H), 7.5 (d, *J* = 8.6 Hz, 2H), 7.4 (d,

J = 8.6 Hz, 2H), 7.2 (t, *J* = 7.5 Hz, 1H), 6.9 (d, *J* = 8.0 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 182.4, 157.1, 151.1, 138.4, 134.5, 131.3, 130.2, 127.2, 125.7, 124.5, 117.4, 111.1.

Typical Procedure for the products 9a-w and **9ab-ah:** Substituted isatin **7** (0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol), alcohol or amine (1.0 mmol) and HFIP (1 mL) were added in turn into a 10 mL of glass sealed tube. The tube was tightly capped. The reaction mixture was stirred at 100 °C for 12h. After cooling down to room temperature, the reaction mixture was then diluted with ethyl acetate, washed with saturated $NaHCO_3$, dried over anhydrous Na_2SO_4, and concentrated. Purification by thin layer chromatography afforded the product **9a-w** and **9ab-ah**.

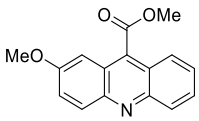


Methyl acridine-9-carboxylate (9a): The general procedure described above was followed using substrate **7a** (22.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9a** (20.1 mg, 84% yield). This compound is known.[2] Yellowish solid. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.26 (d, *J* = 8.8 Hz, 2H), 8.01 (d, *J* = 8.7 Hz, 2H), 7.81 (t, *J* = 7.7 Hz, 2H), 7.60 (t, *J* = 7.5 Hz, 2H), 4.21 (s, 3H); ^{13}C NMR (151 MHz, Chloroform-*d*) δ 168.0, 148.6, 136.8, 130.3, 129.9, 127.1, 125.1, 122.3, 53.0.



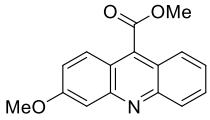
Methyl 2-methylacridine-9-carboxylate (9b): The general procedure described above was followed using substrate **7b** (23.7 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9b** (18.1 mg, 72% yield) and recovery start material **7b** (1.9 mg, 8% yield).

9b: Yellow soild, mp 53-55 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (d, *J* = 8.8 Hz, 1H), 8.16 (d, *J* = 8.9 Hz, 1H), 7.97 (d, *J* = 8.7 Hz, 1H), 7.80-7.50 (m, 1H), 7.72 (s, 1H), 7.64 (d, *J* = 8.9 Hz, 1H), 7.58 (t, *J* = 7.6 Hz, 1H), 4.21 (s, 3H), 2.58 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 148.0, 147.6, 137.3, 135.7, 133.3, 129.85, 129.81, 129.5, 127.0, 125.0, 123.0, 122.4, 53.0, 22.2; HRMS (ESI+) Calcd for $C_{16}H_{14}NO_2$ $[M+H]^+$: 252.1025, Found 252.1016; IR (KBr) ν(cm^{-1}): 1734, 1275, 1261, 764, 750.



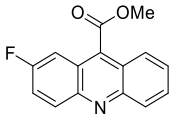
Methyl 2-methoxyacridine-9-carboxylate (9c): The general procedure described above was followed using substrate **7c** (25.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9c** (21.3 mg, 79% yield). Yellow soild, mp 70-72 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.22 (d, *J* = 8.7 Hz, 1H), 8.14 (d, *J* = 9.4 Hz, 1H), 7.97 (d, *J* = 8.7 Hz, 1H), 7.77-7.71 (m, 1H), 7.61-7.56 (m, 1H), 7.49 (dd, *J* = 9.4 and 2.7 Hz, 1H), 7.16 (d, *J* = 2.6 Hz, 1H), 4.20 (s, 3H), 3.97 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.3, 158.1, 146.8, 146.0, 133.9, 131.5, 129.9, 129.1, 127.2, 125.7, 124.6, 123.6, 122.8, 100.1, 55.5, 52.9; HRMS (ESI+) Calcd for $C_{16}H_{14}NO_3$ $[M+H]^+$: 268.0974, Found 268.0967; IR (KBr) ν(cm^{-1}):1732, 1275,

1261, 897, 764, 750.



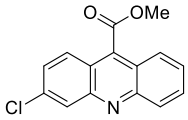
Methyl 3-methoxyacridine-9-carboxylate (9d): The general procedure described above was followed using substrate **7d** (25.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9d** (13.2 mg, 49% yield) and recovery start material **7d** (2.4 mg, 9% yield).

9d: Yellow soild, mp 100-103 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.17 (d, *J* = 8.7 Hz, 1H), 7.97 (d, *J* = 8.6 Hz, 1H), 7.89 (d, *J* = 9.4 Hz, 1H), 7.81-7.75 (m, 1H), 7.56-7.52 (m, 1H), 7.47 (d, *J* = 2.4 Hz, 1H), 7.27 (dd, *J* = 9.8 and 2.8 Hz, 1H), 4.19 (s, 3H), 4.01 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 161.3, 150.4, 148.7, 136.7, 130.4, 129.1, 126.3, 126.0, 125.2, 122.7, 121.2, 118.7, 105.3, 55.7, 53.0; HRMS (ESI+) Calcd for $C_{15}H_{11}N_2O_4$ $[M+H]^+$: 268.0974, Found 268.0967; IR (KBr) ν(cm^{-1}): 1734, 1468, 1207, 1015, 764, 748.



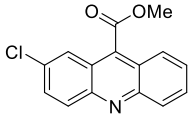
Methyl 2-fluoroacridine-9-carboxylate (9e): The general procedure described above was followed using substrate **7e** (24.1 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9e** (20 mg, 78% yield) and recovery start material **7e** (0.7 mg, 3% yield).

9e: This compound is known.[3] ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.28 (dd, *J* = 9.4 and 5.6 Hz, 1H), 8.25 (d, *J* = 8.8 Hz, 1H), 8.04 (d, *J* = 8.7 Hz, 1H), 7.83-7.78 (m, 1H), 7.68 – 7.59 (m, 3H), 4.21 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.5, 160.6 (d, *J*=250.1 Hz), 148.1, 146.1, 135.9, 135.8, 132.8 (d, *J*=9.3 Hz), 130.1 (d, *J*=29.2 Hz), 127.8, 124.8, 122.8 (d, *J*=10.4 Hz), 122.7, 122.3 (d, *J*=28.1 Hz), 107.5 (d, *J*=23.7 Hz), 53.1; ^{19}F NMR (564 MHz, $CDCl_3$) δ -110.02.



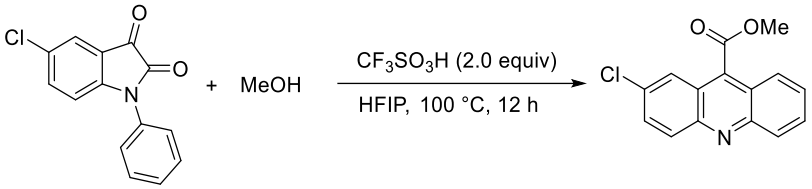
Methyl 3-chloroacridine-9-carboxylate (9f): The general procedure described above was followed using substrate **7f** (25.8 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9f** (19.6 mg, 72% yield) and recovery start material **7f** (2 mg, 8% yield).

9f: Yellow soild, mp 135-137 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (d, *J* = 1.9 Hz, 1H), 8.21 (d, *J* = 8.8 Hz, 1H), 7.99 (d, *J* = 8.7 Hz, 1H), 7.95 (d, *J* = 9.2 Hz, 1H), 7.86 – 7.76 (m, 1H), 7.64 – 7.57 (m, 1H), 7.51 (dd, *J* = 9.2 and 2.0 Hz, 1H), 4.20 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.4, 149.2, 148.4, 136.9, 136.4, 130.9, 129.8, 128.4, 128.3, 127.4, 126.5, 125.2, 122.3, 120.6, 53.1; HRMS (ESI+) Calcd for $C_{15}H_{11}ClNO_2$ $[M+H]^+$: 272.0478; Found 272.0474; IR (KBr) ν (cm-1) : 2955, 2924, 1728, 1377, 1263, 764, 750.



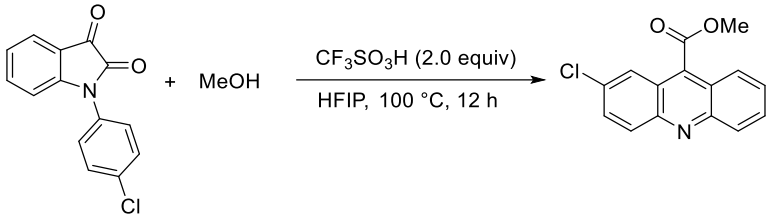
Methyl 2-chloroacridine-9-carboxylate (9g):

Route 1:



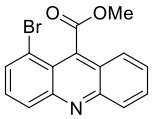
The general procedure described above was followed using substrate **7g** (25.7 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9g** (19.6 mg, 72% yield).

Route 2:

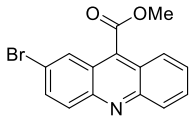


The general procedure described above was followed using substrate **7x** (25.7 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9g** (14.4 mg, 53% yield) and recovery start material **7x** (6.7 mg, 26% yield).

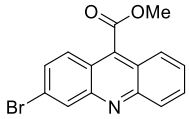
9g: Yellow soild, mp 120-123 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (d, *J* = 8.8 Hz, 1H), 8.20 (d, *J* = 9.2 Hz, 1H), 8.04 – 8.00 (m, 2H), 7.82 (t, *J* = 7.7 Hz, 1H), 7.73 (dd, *J* = 9.2 and 2.2 Hz, 1H), 7.63 (t, *J* = 7.7 Hz, 1H), 4.22 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.4, 148.7, 146.8, 135.7, 133.2, 131.7, 131.6, 130.6, 130.0, 127.8, 125.1, 123.6, 122.7, 122.6, 53.2; HRMS (ESI+) Calcd for $C_{15}H_{11}ClNO_2$ $[M+H]^+$: 272.0478, Found 272.0472; IR (KBr) ν(cm^{-1}) : 2926, 1732, 1456, 1263, 897, 750.



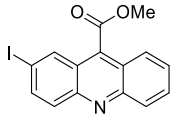
Methyl 1-bromoacridine-9-carboxylate (9h): The general procedure described above was followed using substrate **7h** (30.1 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The reaction mixture was stirred at 100 °C for 48h. The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9h** (25,2 mg, 80% yield).Yellow solid, mp 108-110 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.26 (dd, *J* = 8.8 and 0.8 Hz, 1H), 8.24 (d, *J* = 8.8 Hz, 1H), 7.93 (dd, *J* = 7.3 and 0.9 Hz, 1H), 7.90 (d, *J* = 8.7 Hz, 1H), 7.85 – 7.81 (m, 1H), 7.66 – 7.58 (m, 2H), 4.18 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.5, 149.3, 148.4, 137.9, 132.9, 131.1, 130.6, 129.9, 129.5, 127.8, 125.2, 123.5, 120.8, 117.9, 53.3; HRMS (ESI+) Calcd for $C_{15}H_{11}BrNO_2$ $[M+H]^+$: 315.9973,Found 315.9969; IR (KBr) ν (cm^{-1}) : 2926, 1736, 1458, 1275, 1261, 764, 750.



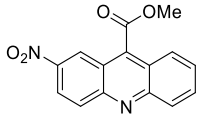
Methyl 2-bromoacridine-9-carboxylate (9i): The general procedure described above was followed using substrate **7i** (30.1 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9i** (28.3 mg, 90% yield). Yellow solid, mp 129-131 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.23 (d, *J* = 8.8 Hz, 1H), 8.19 (d, *J* = 2.0 Hz, 1H), 8.11 (d, *J* = 9.2 Hz, 1H), 8.01 (d, *J* = 8.7 Hz, 1H), 7.86 – 7.80 (m, 2H), 7.66 – 7.58 (m, 1H), 4.22 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.4, 148.7, 146.9, 135.6, 134.0, 131.5, 130.7, 130.0, 127.8, 127.0, 125.1, 123.1, 122.6, 121.6, 53.2; HRMS (ESI+) Calcd for $C_{15}H_{11}BrNO_2$ $[M+H]^+$: 315.9973, Found 315.9969; IR (KBr) ν(cm^{-1}) :2924, 1728, 1456, 1261, 764, 750.



Methyl 3-bromoacridine-9-carboxylate (9j): The general procedure described above was followed using substrate **7j** (30.1 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9j** (26.5 mg, 84% yield).Yellow solid, mp 143-145 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.47 (d, *J* = 1.7 Hz, 1H), 8.23 (d, *J* = 8.8 Hz, 1H), 8.00 (d, *J* = 8.7 Hz, 1H), 7.89 (d, *J* = 9.2 Hz, 1H), 7.84 (t, *J* = 7.2 Hz, 1H), 7.66 (dd, *J* = 9.2 and 1.9 Hz 1H), 7.64 (t, *J* = 7.7 Hz, 1H) 4.21 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.5, 149.1, 148.7, 137.1, 131.9, 131.0, 130.7, 129.9, 127.5, 126.5, 125.2, 124.9, 122.4, 120.9, 53.2; HRMS (ESI+) Calcd for $C_{15}H_{11}BrNO_2$ $[M+H]^+$: 315.9973, Found 315.9969; IR (KBr) ν(cm^{-1}): 2926, 1726, 1275, 1261, 764, 750.

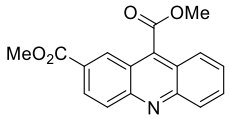


Methyl 2-iodoacridine-9-carboxylate (9k): The general procedure described above was followed using substrate **7k** (34.9 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9k** (29.8 mg, 83% yield). This compound is known.[4] ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.40 (s, 1H), 8.21 (d, *J* = 8.8 Hz, 1H), 8.02 – 7.92 (m, 3H), 7.81 (t, *J* = 7.7 Hz, 1H), 7.60 (t, *J* = 7.7 Hz, 1H), 4.21 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.4, 148.8, 147.0, 138.9, 135.4, 133.9, 131.3, 130.7, 129.9, 127.7, 125.2, 123.7, 122.5, 93.6, 53.2.



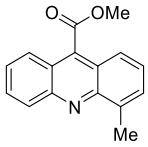
Methyl 2-nitroacridine-9-carboxylate (9l): The general procedure described above was followed using substrate **7l** (26.8 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9l** (24.2 mg, 86% yield). Yellow soild, mp 142-144 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.04 (d, *J* = 1.6 Hz, 1H), 8.51 (dd, *J* = 9.4 and 1.9 Hz, 1H), 8.37 (d, *J* = 9.5 Hz, 1H), 8.29 (d, *J* = 8.8 Hz, 1H), 8.10 (d, *J* = 8.7 Hz, 1H), 7.93

(t, J = 7.6 Hz, 1H), 7.70 (t, J = 7.6 Hz, 1H), 4.28 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 166.6, 150.8, 149.0, 145.6, 139.8, 132.4, 132.0, 130.2, 128.5, 125.5, 123.2, 123.08, 123.05, 120.5, 53.6. HRMS (ESI+) Calcd for $C_{15}H_{11}N_2O_4$ $[M+H]^+$: 283.0719, Found 283.0712; IR (KBr) ν(cm^{-1}): 2924, 1724, 1261, 895, 764, 750.



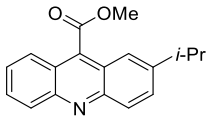
Dimethyl acridine-2,9-dicarboxylate (9m): The general procedure described above was followed using substrate **7m** (28.1 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9m** (26 mg, 87% yield) and recovery start material **7m** (1.7mg, 6% yield).

9m: Yellow soild, mp 161-163 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.79 (d, J = 1.1 Hz, 1H), 8.34 (dd, J = 9.1 and 1.7 Hz, 1H), 8.29 (d, J = 9.3 Hz, 1H), 8.27 (d, J = 9.0 Hz, 1H), 8.03 (d, J = 8.7 Hz, 1H), 7.90 – 7.81 (m, 1H), 7.68 – 7.60 (m, 1H), 4.25 (s, 3H), 4.01 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.4, 166.3, 150.0, 149.4, 138.8, 131.5, 130.2, 130.0, 129.1, 129.0, 128.4, 127.6, 125.4, 122.6, 121.2, 53.3, 52.6; HRMS (ESI+) Calcd for $C_{17}H_{14}NO_4$ $[M+H]^+$: 296.0923, Found 296.0916; IR (KBr) ν(cm^{-1}) : 2924, 2305, 1724, 1275, 1261, 764, 750.



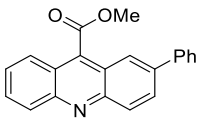
Methyl 4-methylacridine-9-carboxylate (9n): The general procedure described above was followed using substrate **7n** (23.7 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9n** (16.5 mg, 66% yield) and recovery start material **7n** (5 mg, 21% yield).

9n: Yellow soild, mp 92-94 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.31 (d, J = 8.7 Hz, 1H), 7.98 (d, J = 8.6 Hz, 1H), 7.84 (d, J = 8.7 Hz, 1H), 7.79 (t, J = 7.7 Hz, 1H), 7.64 (d, J = 6.7 Hz, 1H), 7.59 (t, J = 7.6 Hz, 1H), 7.48 (dd, J = 8.6 and 6.8 Hz, 1H), 4.20 (s, 3H), 2.95 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.4, 148.1, 147.8, 137.8, 136.7, 130.4, 129.7, 129.5, 127.0, 124.8, 123.0, 122.3, 122.0, 52.9, 18.6; HRMS (ESI+) Calcd for $C_{16}H_{14}NO_2$ $[M+H]^+$: 252.1025, Found 252.1018; IR (KBr) ν(cm^{-1}): 2926, 1734, 1458, 1231, 1148, 1069, 764, 748.



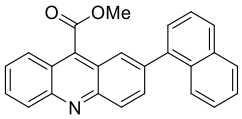
Methyl 2-isopropylacridine-9-carboxylate (9o): The general procedure described above was followed using substrate **7o** (26.5 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9o** (21 mg, 75% yield). Brown oily; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.24 (d, J = 8.8 Hz, 1H), 8.20 (d, J = 8.9 Hz, 1H), 7.97 (d, J = 8.6 Hz, 1H), 7.81 – 7.70 (m, 3H), 7.60 – 7.56 (m, 1H), 4.22 (s, 3H), 3.16 – 3.11 (m, 1H), 1.36 (d, J = 6.9 Hz, 6H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 148.02, 148.01, 147.6, 136.0, 130.7, 129.81, 129.76, 126.9, 124.9, 122.43, 122.41, 120.4, 52.9, 34.5, 23.4; HRMS (ESI+) Calcd for

$C_{18}H_{18}NO_2$ $[M+H]^+$: 280.1338, Found 280.1330; IR (KBr) ν(cm^{-1}): 2955, 2924, 1734, 1458, 1225, 764, 750.



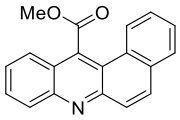
Methyl 2-phenylacridine-9-carboxylate (9p): The general procedure described above was followed using substrate **7p** (29.9 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9p** (21.1 mg, 67% yield) and recovery start material **7p** (1.3 mg, 4% yield).

9p: Yellow soild, mp 101-103 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.34 (d, *J* = 9.0 Hz, 1H), 8.28 (d, *J* = 8.8 Hz, 1H), 8.16 (d, *J* = 1.6 Hz, 1H), 8.10 (dd, *J* = 9.1 and 1.7 Hz, 1H), 8.02 (d, *J* = 8.6 Hz, 1H), 7.81 (t, *J* = 7.7 Hz,1 H), 7.76 (d, *J* = 7.9 Hz, 2H), 7.61 (t, *J* = 7.6 Hz, 1H), 7.53 (t, *J* = 7.6 Hz, 2H), 7.44 (t, *J* = 7.4 Hz, 1H), 4.23 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.0, 148.5, 148.0, 140.0, 139.7,136.8, 130.7, 130.30, 130.27, 129.9, 129.0, 128.1, 127.5, 127.3, 125.1, 122.7, 122.5, 122.3, 53.1; HRMS (ESI+) Calcd for $C_{21}H_{16}NO_2$ $[M+H]^+$: 314.1181, Found 314.1165; IR (KBr) ν(cm^{-1}): 2926, 1726, 1462, 1261, 764, 750.



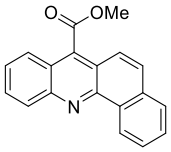
Methyl 2-(naphthalen-1-yl)acridine-9-carboxylate (9q): The general procedure described above was followed using substrate **7q** (34.9 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9q** (28.2 mg, 78% yield) and recovery start material **7q** (8 mg, 22% yield).

9q: Yellow soild, mp 159-161 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.38 (d, *J* = 8.9 Hz, 1H), 8.33 (d, *J* = 8.8 Hz, 1H), 8.11 (d, *J* = 1.4 Hz, 1H), 8.05 (d, *J* = 8.5 Hz, 1H), 7.99 (dd, *J* = 8.9 and 1.8 Hz, 1H), 7.98 – 7.92 (m, 3H), 7.87 – 7.82 (m, 1H), 7.67 – 7.58 (m, 3H), 7.54 (t, *J* = 7.5 Hz, 1H), 7.51 – 7.46 (m, 1H), 4.14 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 148.7, 148.0, 139.7, 139.0, 136.8, 133.8, 133.4, 131.4, 130.3, 129.9, 129.5, 128.45, 128.41, 127.5, 127.3, 126.5, 126.1, 125.6, 125.42, 125.41, 125.1, 122.6, 122.4, 53.0; HRMS (ESI+) Calcd for $C_{25}H_{18}NO_2$ $[M+H]^+$: 364.1338, Found 364.1329; IR (KBr) ν(cm^{-1}): 2924, 1724, 1275, 1261, 764, 750.



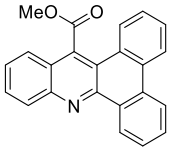
Methyl benzo[a]acridine-12-carboxylate (9r): The general procedure described above was followed using substrate **7r** (27.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9r** (24.2 mg, 84% yield). Yellow soild, mp 115-117 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.38 (d, *J* = 7.5 Hz, 1H), 8.30 (d, *J* = 8.5 Hz, 1H), 8.01 – 7.98 (m, 2H), 7.94 (d, *J* = 9.2 Hz, 1H), 7.91 – 7.88 (m, 1H), 7.87 – 7.83 (m, 1H), 7.69 – 7.64 (m, 3H), 4.20 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 170.6, 149.6, 147.3, 135.5, 133.0, 132.4, 130.2, 129.34, 129.28, 128.7, 128.5, 128.1, 127.33, 127.26, 125.5, 124.7, 122.7,119.8, 53.3;

HRMS (ESI+) Calcd for $C_{19}H_{14}NO_2$ $[M+H]^+$: 288.1025, Found 288.1017; IR (KBr) ν(cm^{-1}): 2972, 1275, 1261, 1049, 881, 750.



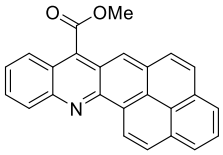
Methyl benzo[c]acridine-7-carboxylate (9s): The general procedure described above was followed using substrate **7s** (27.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9s** (21.5 mg, 75% yield) and recovery start material **7s** (1.6 mg, 6% yield).

9s: Yellow soild, mp 106-107 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.51 (d, *J* = 7.9 Hz, 1H), 8.41 (d, *J* = 8.6 Hz, 1H), 8.03 (d, *J* = 8.5 Hz, 1H), 7.89 – 7.83 (m, 2H), 7.81 – 7.73 (m, 4H), 7.67 – 7.65 (t, *J* = 7.5 Hz, 1H), 4.22 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 147.4, 147.1, 136.0, 133.3, 131.3, 130.2, 129.8, 129.4, 129.3, 127.9, 127.7, 127.1, 125.3, 124.8, 122.7, 122.2, 121.0, 53.0; HRMS (ESI+) Calcd for $C_{19}H_{14}NO_2$ $[M+H]^+$: 288.1025, Found 288.1017; IR (KBr) ν(cm^{-1}): 2955, 2924, 1734, 1261, 1219, 764, 750.



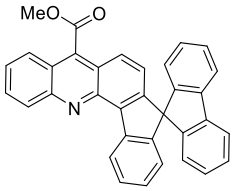
Methyl dibenzo[a,c]acridine-14-carboxylate (9t): The general procedure described above was followed using substrate **7t** (39.9 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (petroleum ether: dichloromethane =1:2) to afford product **9t** (23.8 mg, 71% yield) and recovery start material **7t** (7.4 mg, 19% yield).

9t: Yellow soild, mp 142-144 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.50 – 9.45 (m, 1H), 8.58 (t, *J* = 7.9 Hz, 1H), 8.49 (t, *J* = 7.1 Hz, 1H), 8.35 (d, *J* = 8.5 Hz, 1H), 8.30 (dd, *J* = 8.0 and 2.3 Hz, 1H), 8.03 (d, *J* = 8.5 Hz, 1H), 7.84 (t, *J* = 7.5 Hz, 1H), 7.77 – 7.70 (m, 2H), 7.69 – 7.64 (m, 2H), 7.60 – 7.54 (m, 1H), 4.12 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 170.6, 147.9, 146.7, 135.1, 131.9, 131.1, 130.8, 130.0, 129.8, 128.7, 128.1, 128.0, 127.3, 127.1, 126.8, 126.4, 124.6, 123.8, 123.3, 122.5, 120.0, 53.3; HRMS (ESI+) Calcd for $C_{23}H_{16}NO_2$ $[M+H]^+$: 338.1181, Found 338.1174; IR (KBr) ν(cm^{-1}): 2926, 1732, 1275, 1261, 764, 750.

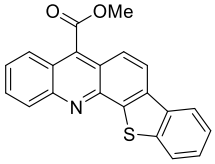


Methyl phenaleno[1,9-bc]acridine-7-carboxylate (9u): The general procedure described above was followed using substrate **7u** (34.9 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (petroleum ether: dichloromethane =1:2) to afford product **9u** (25.8 mg, 71% yield). Yellow soild, mp 197-200 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 9.85 (d, *J* = 8.8 Hz, 1H), 8.53 (d, *J* = 8.6 Hz, 1H), 8.43 – 8.38 (m, 2H), 8.23 (d, *J* = 7.7 Hz, 1H), 8.16 (d, *J* = 8.5 Hz, 1H), 7.99 (d, *J* = 7.0 Hz, 1H), 7.94 (t, *J* = 7.5 Hz, 1H), 7.92 – 7.88 (m, 1H), 7.83 (q, *J* = 9.1 Hz, 2H), 7.74 – 7.69 (m, 1H), 4.33 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.6, 147.2, 144.0,

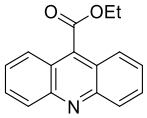
135.8, 132.7, 131.6, 131.3, 130.4, 129.7, 129.4, 128.7, 128.2, 127.9, 127.1, 127.0, 126.6, 125.9, 125.4, 124.9, 124.8, 123.9, 122.3, 120.3, 119.8, 53.1; HRMS (ESI+) Calcd for $C_{25}H_{16}NO_2$ $[M+H]^+$: 362.1181, Found 362.1173; IR (KBr) ν(cm^{-1}): 3350, 2945, 2831, 1657, 1454, 1115, 1032.



Methyl spiro[fluorene-9,8'-indeno[2,1-c]acridine]-5'-carboxylate (9v): The general procedure described above was followed using substrate **7v** (46.2 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (petroleum ether: ethyl acetate =6:1) to afford product **9v** (29.0 mg, 61% yield). Yellow soild, mp 196-200 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.37 (s, 1H), 8.08 (d, *J* = 7.7 Hz, 1H), 8.06 (d, *J* = 8.6 Hz, 1H), 7.98 (d, *J* = 8.7 Hz, 1H), 7.89 (d, *J* = 7.7 Hz, 2H), 7.72 (t, *J* = 7.5 Hz, 1H), 7.60 – 7.53 (m, 2H), 7.47 (t, *J* = 7.4 Hz, 1H), 7.39 (t, *J* = 7.5 Hz, 2H), 7.28 – 7.22 (m, 1H), 7.11 (t, *J* = 7.4 Hz, 2H), 6.86 (d, *J* = 7.6 Hz, 1H), 6.78 (d, *J* = 7.6 Hz, 2H), 4.32 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.3, 152.9, 149.5, 149.3, 149.0, 148.0, 141.7, 141.5, 140.0, 136.3, 130.0, 129.9, 129.6, 128.3, 128.0, 127.9, 127.0, 124.9, 124.7, 124.4, 124.2, 122.7, 122.3, 121.5, 120.1, 114.1, 65.2, 53.1. HRMS (ESI+) Calcd for $C_{34}H_{22}NO_2$ $[M+H]^+$: 476.1651, Found 476.1644; IR (KBr) ν(cm^{-1}):3339, 2943, 2832, 1653, 1452, 1115, 1032.

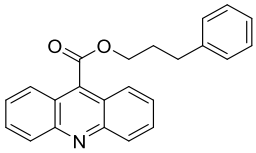


Methyl benzo[4,5]thieno[3,2-c]acridine-5-carboxylate (9w): The general procedure described above was followed using substrate **7w** (32.9 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and MeOH (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9w** (30 mg, 87% yield). Yellow soild, mp 188-191 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.37 (d, *J* = 8.7 Hz, 1H), 8.25 – 8.22 (m, 1H), 8.20 (d, *J* = 9.0 Hz, 1H), 8.08 – 8.04 (m, 1H), 8.02 (d, *J* = 8.6 Hz, 1H), 7.94 (d, *J* = 8.9 Hz, 1H), 7.86 – 7.81 (m, 1H), 7.66 – 7.59 (m, 1H), 7.56 – 7.50 (m, 2H), 4.25 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.0, 148.0, 145.1, 141.0, 138.9, 137.4, 136.2, 135.2, 130.3, 129.9, 127.1, 126.8, 125.1, 124.7, 123.3, 122.6, 122.00, 121.98, 121.5, 121.4, 53.1; HRMS (ESI+) Calcd for $C_{21}H_{14}NO_2S$ $[M+H]^+$: 344.0735, Found 344.0739; IR (KBr) ν(cm^{-1}): 3350, 2945, 2833, 1651,1452, 1115,1032.

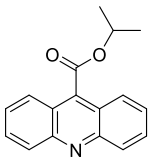


Ethyl acridine-9-carboxylate (9ab): The general procedure described above was followed using substrate **7a** (22.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and EtOH (46.1 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9ab** (15.7 mg, 63% yield) and recovery start material **7a** (3 mg, 13% yield).

9ab: Yellow soild, mp 57-58 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.26 (d, *J* = 8.8 Hz, 2H), 8.03 (d, *J* = 8.6 Hz, 2H), 7.81 (t, *J* = 7.7 Hz, 2H), 7.60 (td, *J* = 7.6 and 0.8 Hz, 2H), 4.71 (q, *J* = 7.1 Hz, 2H), 1.54 (t, *J* = 7.1 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.5, 148.6, 137.1, 130.3, 129.8, 127.1, 125.0, 122.3, 62.4, 14.4; HRMS (ESI+) Calcd for $C_{16}H_{14}NO_2$ $[M+H]^+$: 252.1025, Found 252.1016; IR (KBr) ν(cm^{-1}): 2926, 1724, 1263, 1026, 764, 750.

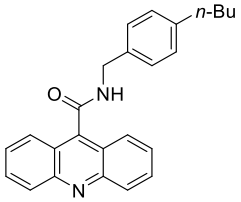


3-Phenylpropyl acridine-9-carboxylate (9ac): The general procedure described above was followed using substrate **7a** (22.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and 3-phenylpropan-1-ol (135.4 mg, 1.0 mmol). The residue was purified by thin layer chromatography (petroleum ether: ethyl acetate = 4: 1) to afford product **9ac** (23.8 mg, 70% yield). Yellow soild, mp 110-112 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.29 (d, *J* = 8.8 Hz, 2H), 8.04 (d, *J* = 8.7 Hz, 2H), 7.82 (td, *J* = 8.0 and 1.1 Hz, 2H), 7.62 (td, *J* = 7.7 and 1.0 Hz, 2H), 7.31 (t, *J* = 7.6 Hz, 2H), 7.25 – 7.18 (m, 3H), 4.66 (t, *J* = 6.6 Hz, 2H), 2.82 (t, *J* = 7.7 Hz, 2H), 2.29 – 2.18 (m, 2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.6, 148.6, 140.6, 137.1, 130.3, 129.9, 128.5, 128.4, 127.1, 126.2, 125.0, 122.3, 65.6, 32.1, 30.2; HRMS (ESI+) Calcd for $C_{23}H_{20}NO_2$ $[M+H]^+$: 342.1494, Found 342.1487; IR (KBr) ν(cm^{-1}): 2924, 1726, 1263, 1209, 1013, 764, 750.



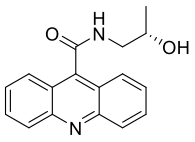
Isopropyl acridine-9-carboxylate (9ad): The general procedure described above was followed using substrate **7a** (22.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and propan-2-ol (47.1 mg, 1.0 mmol). The reaction mixture was stirred at 100 °C for 24h. The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9ad** (10.4 mg, 39% yield) and recovery start material **7a** (5.3 mg, 23% yield).

9ad: Yellow soild, mp 56-61 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.26 (d, *J* = 8.8 Hz, 2H), 8.03 (d, *J* = 8.6 Hz, 2H), 7.86 – 7.73 (m, 2H), 7.65 – 7.56 (m, 2H), 5.69 – 5.62 (m, 1H), 1.55 (d, *J* = 6.3 Hz, 6H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.1, 148.6, 137.5, 130.3, 129.9, 127.0, 125.0, 122.2, 70.5, 22.1; HRMS (ESI+) Calcd for $C_{17}H_{16}NO_2$ $[M+H]^+$: 266.1181, Found 266.1174; IR (KBr) ν(cm^{-1}): 2982, 1722, 1516, 1225, 1109, 1001, 750.

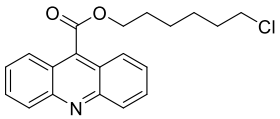


N-(4-butylbenzyl) acridine-9-carboxamide (9ae): The general procedure described above was followed using substrate **7a** (22.3 mg, 0.1 mmol), *p*-TsOH·H_2O (133.2 mg, 0.7 mmol) and (4-butylphenyl) methanamine (81.6 mg, 0.5 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9ae** (23.3 mg, 66% yield). Yellow soild, mp 63-67 ℃; ^{1}H NMR (150 MHz,

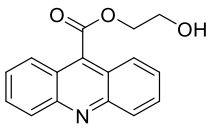
$CDCl_3$) δ 7.95 (d, *J* = 8.8 Hz, 2H), 7.88 (d, *J* = 8.6 Hz, 2H), δ 7.63 – 7.58 (m, 2H), 7.44 – 7.37 (m, 4H), 7.23 (d, *J* = 8.0 Hz, 2H), 7.10 (s, 1H), 4.85 (d, *J* = 5.7 Hz, 2H), 2.63 (t, *J* = 7.7 Hz, 2H), 1.68 – 1.54 (m, 2H), 1.42 – 1.31 (m, 2H), 0.93 (t, *J* = 7.4 Hz, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 166.8, 148.5, 142.8, 140.6, 134.5, 130.3, 129.6, 129.0, 128.2, 126.8, 125.1, 122.2, 44.2, 35.3, 33.6, 22.3, 13.9; HRMS (ESI+) Calcd for $C_{25}H_{25}N_2O$ $[M+H]^+$: 369.1967, Found 369.1962; IR (KBr) ν(cm^{-1}): 3235, 2928, 1659, 1539, 1439, 1252, 756.



(*S*)-N-(2-hydroxypropyl) acridine-9-carboxamide (9af): The general procedure described above was followed using substrate **7a** (22.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg 0.2 mmol) and (*S*)-1-aminopropan-2-ol (75.1 mg 1.0 mmol). The residue was purified by thin layer chromatography (dichloromethane: MeOH = 15: 1) to afford product **9af** (17.6 mg, 57% yield).Yellow soild, mp 179-182 ℃; $[\alpha]^{25}_D$ -39.0 (c 0.86, CH_2Cl_2); ^{1}H NMR (600 MHz, DMSO-d_6) δ 9.05 (s, 1H), 8.19 (d, *J* = 8.7 Hz, 2H), 8.06 (d, *J* = 8.5 Hz, 2H), 7.88 (t, *J* = 7.5 Hz, 2H), 7.67 (t, *J* = 7.4 Hz, 2H), 4.88 (d, *J* = 4.6 Hz, 1H), 3.95 (t, *J* = 5.6 Hz, 1H), 3.53 – 3.41 (m, 2H), 1.20 (d, *J* = 6.1 Hz, 3H); ^{13}C NMR (150 MHz, DMSO-d_6) δ 166.3, 148.2, 142.9, 130.9, 129.2, 126.8, 126.0, 122.0, 65.3, 47.0, 21.6; HRMS (ESI+) Calcd for $C_{17}H_{17}N_2O_2$ $[M+H]^+$: 281.1290, Found 281.1283 ; IR (KBr) ν(cm^{-1}):3059, 1634, 1539, 1261, 1136, 754.

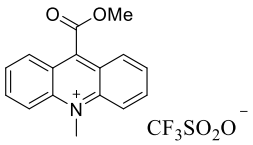


6-Chlorohexyl acridine-9-carboxylate (9ag): The general procedure described above was followed using substrate **7a** (22.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and 6-chlorohexan-1-ol (32.0 mg, 1.0 mmol). The residue was purified by thin layer chromatography (DCM as eluent) to afford product **9ag** (22.2 mg, 65% yield). Yellow oil; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.27 (d, *J* = 8.8 Hz, 2H), 8.01 (d, *J* = 8.6 Hz, 2H), 7.83 – 7.78 (m, 2H), 7.64 – 7.57 (m, 2H), 4.65 (t, *J* = 6.7 Hz, 2H), 3.53 (t, *J* = 6.6 Hz, 2H), 1.94 – 1.88 (m, 2H), 1.82 – 1.76 (m, 2H), 1.56 – 1.48 (m, 4H); ^{13}C NMR (150 MHz, $CDCl_3$) δ167.6, 148.6, 137.1, 130.3, 129.9, 127.1, 125.0, 122.3, 66.2, 44.8, 32.3, 28.5, 26.4, 25.3; HRMS (ESI+) Calcd for $C_{20}H_{21}ClNO_2$ $[M+H]^+$: 342.1246, Found 342.1254; IR (KBr) ν(cm^{-1}): 2938, 1726, 1518, 1288, 1209, 1013, 760.

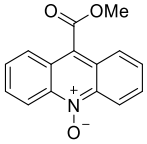


2-Hydroxyethyl acridine-9-carboxylate (9ah): The general procedure described above was followed using substrate **7a** (22.3 mg, 0.1 mmol), CF_3SO_3H (30.0 mg, 0.2 mmol) and ethane-1, 2-diol (62.1 mg, 1.0 mmol). The residue was purified by thin layer chromatography (petroleum ether: ethyl acetate = 2: 1) to afford product **9ah** (14.1 mg, 53% yield). Yellow soild, mp 165-168 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.17 (d, *J* = 8.8 Hz, 2H), 7.86 (d, *J* = 8.6 Hz, 2H), 7.70 (t, *J* = 7.6 Hz, 2H), 7.43 (t, *J* = 7.6 Hz, 2H), 4.80 (t, *J* = 4.5 Hz, 2H), 4.22 – 4.14 (m, 2H), 3.81 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.2, 147.9,

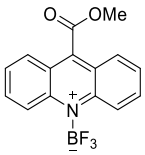
136.4, 130.2, 129.3, 126.9, 124.9, 121.8, 67.8, 60.8; HRMS (ESI+) Calcd for $C_{16}H_{14}NO_3$ $[M+H]^+$: 268.0974, Found 268.0967; IR (KBr) ν(cm^{-1}): 3188, 1728, 1213, 1088, 1022, 762.



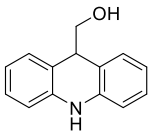
9-(Methoxycarbonyl)-10-methylacridin-10-ium (10): To a stirred solution of methyl acridine-9-carboxylate (47.4 mg, 0.2 mmol) in CH_2Cl_2 (3 mL) was added $CF_3SO_3CH_3$ (65.6 mg, 0.4 mmol). The mixture was stirred at room temperature for 72 h. The product **10** (60.7 mg, 76% yield) is obtained by recrystallizing. Yellow soild, mp 184-188 ℃; ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.89 (d, J = 9.3 Hz, 2H), 8.51 (t, J = 8.0 Hz, 2H), 8.45 (dd, J = 8.6 and 3.9 Hz, 2H), 8.11 – 8.07 (m, 2H), 4.91 (s, 3H), 4.32 (s, 3H); ^{13}C NMR (150 MHz, DMSO-d_6) δ 165.1, 148.5, 141.9, 139.1, 129.3, 128.0, 122.3, 119.8, 54.9; HRMS (ESI+) Calcd for $C_{16}H_{14}NO_2$ $[M-CF_3SO_3]^+$:252.1025, Found 252.1018; IR (KBr) ν(cm^{-1}):1736, 1611, 1557, 1377, 1030, 766, 635.



9-(Methoxycarbonyl) acridine 10-oxide (11): To a stirred solution of methyl acridine-9-carboxylate (23.7 mg, 0.1 mmol) in $CHCl_3$ (1 mL) was added 70% *m*-CPBA (17.3 mg, 0.1 mmol) portionwise at 0 °C. The mixture was stirred at room temperature for 12 h. After complete consumption of starting material was observed by TLC, the reaction mixture was diluted with $CHCl_3$, and solid K_2CO_3 (4.0 equiv) was added. The resulting mixture was stirred for an additional 10 min and then washed with water three times. The organic layer was separated and dried with anhydrous Na_2SO_4, filtered, and concentrated. The crude product was purified by flash chromatography to afford product **11** (26.1 mg, 100 % yield). Yellow soild, mp 170-173 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.89 (d, J = 9.1 Hz, 2H), 8.10 (d, J = 8.7 Hz, 2H), 7.78 (t, J= 7.8 Hz, 2H), 7.62 (t, J = 7.7 Hz, 2H), 4.18 (s, 3H); ^{13}C NMR (150 MHz, $CDCl_3$) 167.1, 139.2, 130.7, 128.4, 125.9, 124.7, 124.0, 119.9, 53.1; HRMS (ESI+) Calcd for $C_{15}H_{12}NO_3$ $[M+H]^+$:254.0812, Found 254.0810; IR (KBr) ν(cm^{-1}): 1722, 1572, 1377, 1275, 1227, 1018, 762.



(T-4)-(Methyl acridine-9-carboxylate) trifluoroboron (12): To a stirred solution of methyl acridine-9-carboxylate (23.7 mg, 0.1 mmol) in Et_2O (1 mL) was added $BF_3{\cdot}OEt_2$ (42.6 mg, 0.3 mmol) portionwise at room temperature. Product **12** (27.5 mg, 90% yield) was obtained after filtration. Yellow soild, mp 184-188 ℃; ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.31 (d, J = 8.8 Hz, 2H), 8.19 (d, J = 8.7 Hz, 2H), 8.10 (t, J = 7.6 Hz, 2H), 7.85 (d, J = 7.7 Hz, 2H), 4.23 (s, 3H); ^{13}C NMR (150 MHz, DMSO-d_6) δ 166.1, 144.2, 141.8, 134.3, 128.6, 125.9, 125.2, 121.8, 54.1; ^{19}F NMR (564 MHz, $CDCl_3$) δ -148.20; HRMS (ESI+) Calcd for $C_{15}H_{12}NO_2$ $[M+H-BF_3]^+$:238.0868, Found 238.0861; IR (KBr) ν(cm^{-1}): 1728, 1630, 1468, 1423, 1238, 1125, 1084, 1026, 843, 754.



9-(Methoxymethyl)-4a, 9, 9a, 10-tetrahydroacridine (13): Methyl acridine-9-carboxylate (47.4 mg, 0.2 mmol) was dissolved in anhydrous THF (3 ml), $LiAlH_4$ (1 M in THF, 0.25 ml) was added dropwise under an inert atmosphere. The reaction mixture was slowly heated to 80 °C and maintained at 80 °C for 3 h. The reaction was then quenched by addition of 15% aq. NaOH. The resulting precipitate was filtered off. Then the filtrate was diluted with EtOAc, washed with water and saturated aq. $NaHCO_3$, dried anhydrous Na_2SO_4. Purification the crude product by column chromatography (ethyl acetate/hexane, 1:4) yielded the alcohol as a pale, yellow solid (41.0 mg, 97 %); This compound is known.[5] ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.21 (d, *J* = 7.4 Hz, 2H), 7.16 (td, *J* = 7.8 and 1.3 Hz, 2H), 6.93 (td, *J* = 7.4, 1.1 Hz, 2H), 6.74 (d, *J* = 7.9 Hz, 2H), 6.15 (s, 1H), 4.09 (t, *J* = 6.9 Hz, 1H), 3.60 (d, *J* = 7.0 Hz, 2H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 139.7, 129.1, 127.7, 120.8, 120.3, 113.6, 67.4, 45.3.

X-ray single-crystal analysis data of compound 9a (Summary of Data CCDC 1960437)

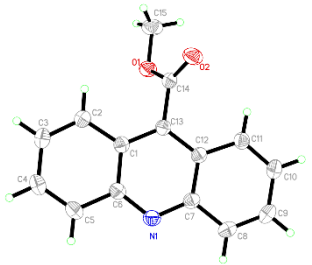


Figure . ORTEP view of compound **9a**.

Table . Crystal data and structure refinement for compound 9a.

Chemical formula	$C_{15}H_{11}NO_2$
Formula weight	237.25 g/mol
Temperature	273(2) K
Wavelength	1.54178 Å
Crystal size	0.170 x 0.220 x 0.250 mm
Crystal system	monoclinic
Space group	P 1 21 1
Unit cell dimensions	a = 3.94040(10) Å α = 90°
	b = 16.0925(3) Å β = 90.2540(10)°
	c = 9.1575(2) Å γ = 90°
Volume	580.68(2) $Å^3$
Z	2
Density (calculated)	1.357 g/cm^3
Absorption coefficient	0.736 mm^{-1}
F(000)	248
Theta range for data collection	7.33 to 74.48°
Index ranges	-4<=h<=4, -20<=k<=20, -11<=l<=9
Reflections collected	7654
Independent reflections	2313 [R(int) = 0.0259]
Coverage of independent reflections	98.5%
Absorption correction	Multi-Scan
Max. and min. transmission	0.8850 and 0.8370
Structure solution technique	direct methods
Structure solution program	SHELXT 2014/5 (Sheldrick, 2014)
Refinement method	Full-matrix least-squares on F^2
Refinement program	SHELXL-2016/6 (Sheldrick, 2016)
Function minimized	$\Sigma\ w(F_o^2 - F_c^2)^2$
Data / restraints / parameters	2313 / 1 / 164
Goodness-of-fit on F^2	1.070
Final R indices	2261 data; I>2σ(I) R1 = 0.0292, wR2 = 0.0810
	all data R1 = 0.0298, wR2 = 0.0817
Weighting scheme	$w=1/[\sigma^2(Fo^2)+(0.0472P)^2+0.0302P]$
	where $P=(Fo^2+2Fc^2)/3$

Absolute structure parameter	0.02(8)
Largest diff. peak and hole	0.107 and -0.099 eÅ^{-3}
R.M.S. deviation from mean	0.023 eÅ^{-3}

X-ray single-crystal analysis data of compound 9r (Summary of Data CCDC 1968988)

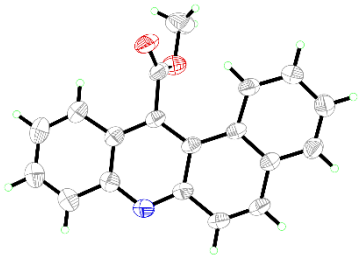


Figure . ORTEP view of compound **9r**.

Table . Crystal data and structure refinement for compound 9r.

Chemical formula	$C_{19}H_{13}NO_2$
Formula weight	287.30 g/mol
Temperature	297(2) K
Wavelength	1.54178 Å
Crystal size	0.138 x 0.159 x 0.239 mm
Crystal habit	clear light colourless BLOCK
Crystal system	orthorhombic
Space group	P n a 21
Unit cell dimensions	a = 18.7602(14) Å α = 90°
	b = 9.1601(6) Å β = 90°
	c = 16.7999(12) Å γ = 90°
Volume	2887.0(4) Å^3
Z	8
Density (calculated)	1.322 g/cm^3
Absorption coefficient	0.692 mm^{-1}
F(000)	1200
Theta range for data collection	4.71 to 79.28°
Index ranges	-23<=h<=23, -11<=k<=10, -21<=l<=19
Reflections collected	30826
Independent reflections	5919 [R(int) = 0.0544]
Coverage of independent reflections	97.4%
Absorption correction	Multi-Scan
Max. and min. transmission	0.9110 and 0.8520
Structure solution technique	direct methods
Structure solution program	SHELXT 2014/5 (Sheldrick, 2014)
Refinement method	Full-matrix least-squares on F^2
Refinement program	SHELXL-2016/6 (Sheldrick, 2016)
Function minimized	Σ w(Fo^2 - Fc^2)^2
Data / restraints / parameters	5919 / 1 / 400

Goodness-of-fit on F2	1.010
Final R indices	5296 data; I>2σ(I) R1 = 0.0454, wR2 = 0.1219
	all data R1 = 0.0507, wR2 = 0.1266
Weighting scheme	$w=1/[\sigma^2(Fo^2)+(0.0715P)^2+0.1732P]$ where $P=(Fo^2+2Fc^2)/3$
Absolute structure parameter	0.18(13)
Extinction coefficient	0.0005(2)
Largest diff. peak and hole	0.182 and -0.128 $eÅ^{-3}$
R.M.S. deviation from mean	0.029 $eÅ^{-3}$

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(3) Elliott, E. C.; Bowkett, E. R.; Maggs, J. L.; Bacsa, J.; Park, B. K.; Regan, S. L.; O'Neill, P. M.; Stachulski, A. V., Convenient syntheses of benzo-fluorinated dibenz[b,f]azepines: rearrangements of isatins, acridines, and indoles. *Org. Lett.* **2011**, *13*, 5592-5595.

(4) Desbois, N.; Gardette, M.; Papon, J.; Labarre, P.; Maisonial, A.; Auzeloux, P.; Lartigue, C.; Bouchon, B.; Debiton, E.; Blache, Y.; Chavignon, O.; Teulade, J. C.; Maublant, J.; Madelmont, J. C.; Moins, N.; Chezal, J. M., Design, synthesis and preliminary biological evaluation of acridine compounds as potential agents for a combined targeted chemo-radionuclide therapy approach to melanoma. *Bioorg. Med. Chem.* **2008,** *16*, 7671-7690.

(5) Goeth, H.; Cerutti, P.; Schmid, H., Photoreaktionen von acridin und acridinabkömmlingen Sowie von arylketonen mit methanol. *Helvetica. Chimica. Acta*. **1965**, *48*, 1395-1406.

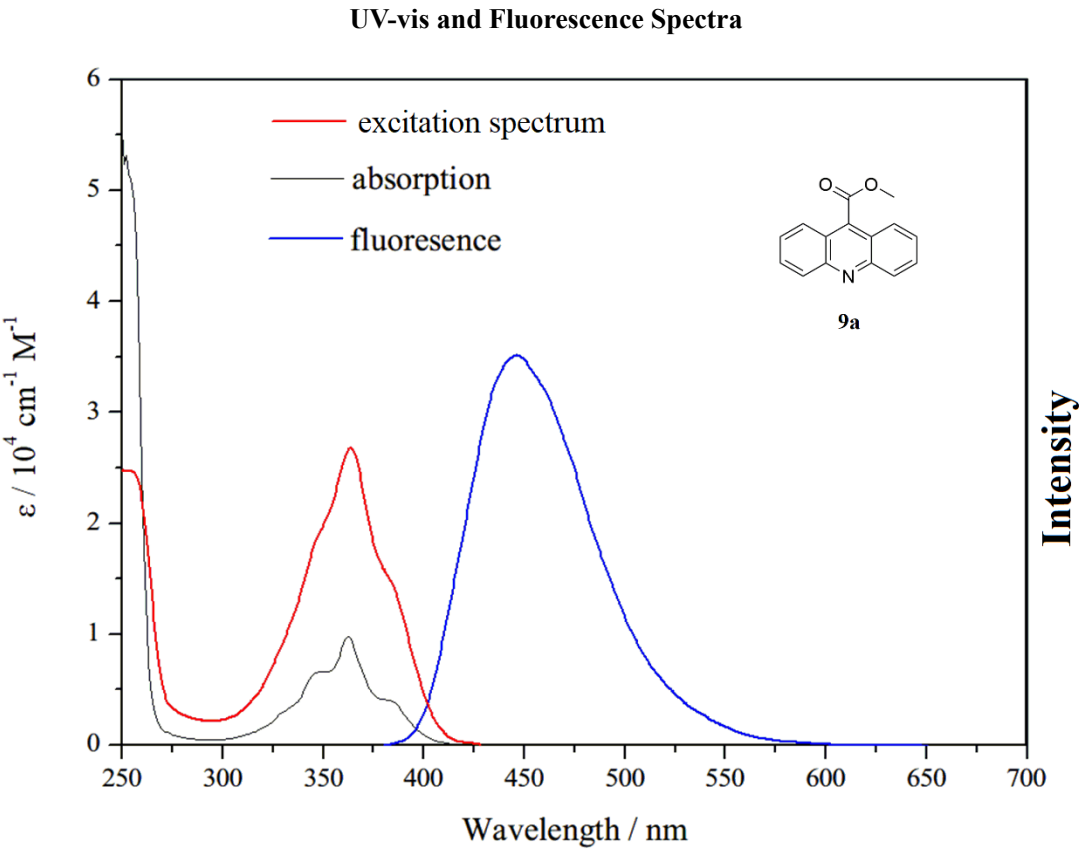


Figure S1. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9a** in $CHCl_2$

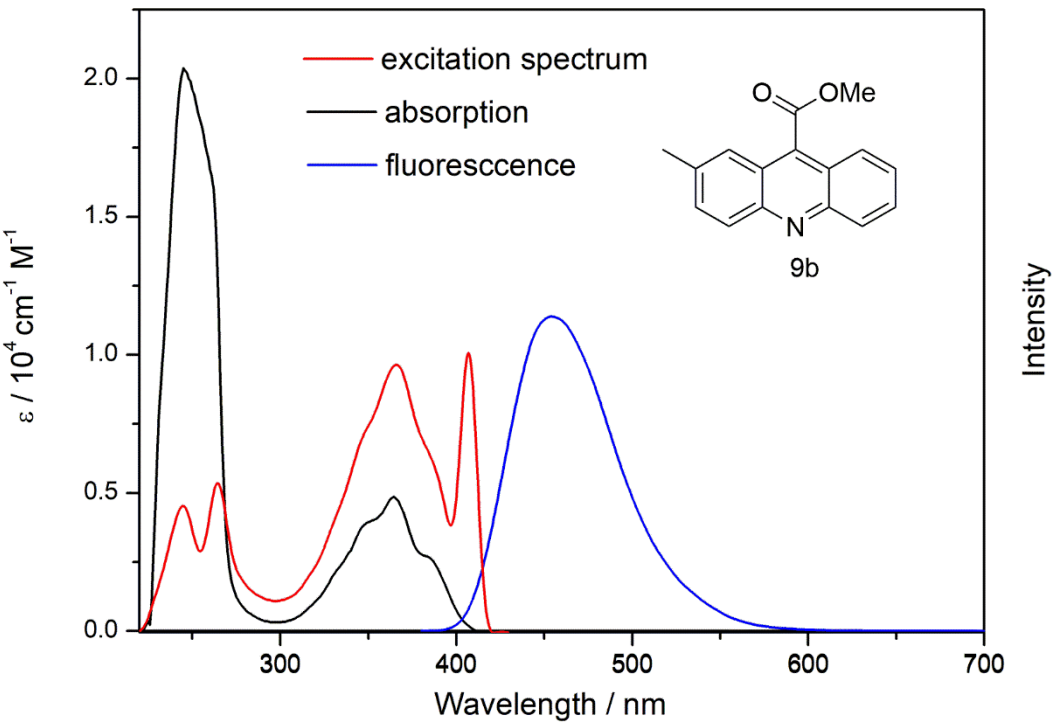


Figure S2. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9b** in $CHCl_2$

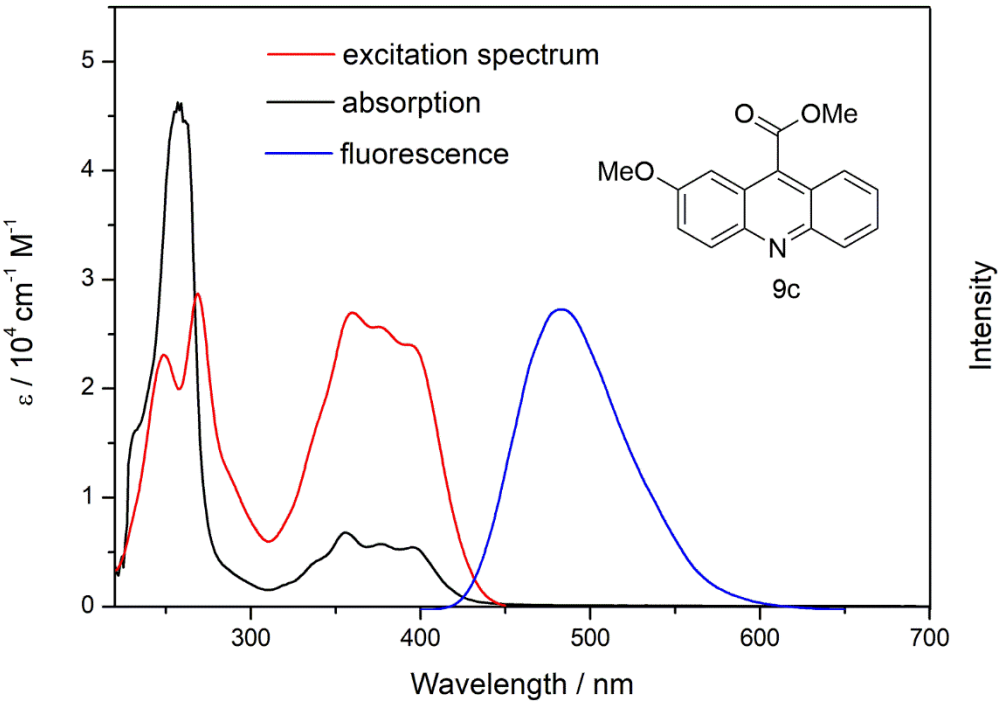


Figure S3. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9c** in $CHCl_2$

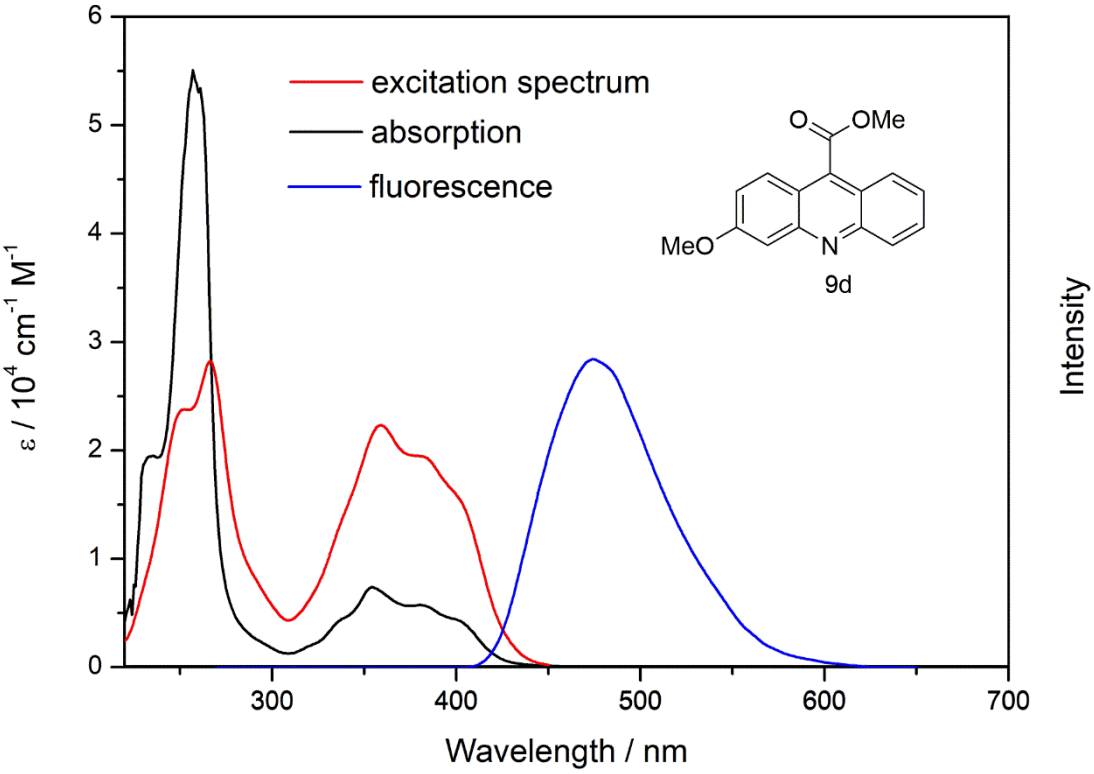


Figure S4. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9d** in $CHCl_2$

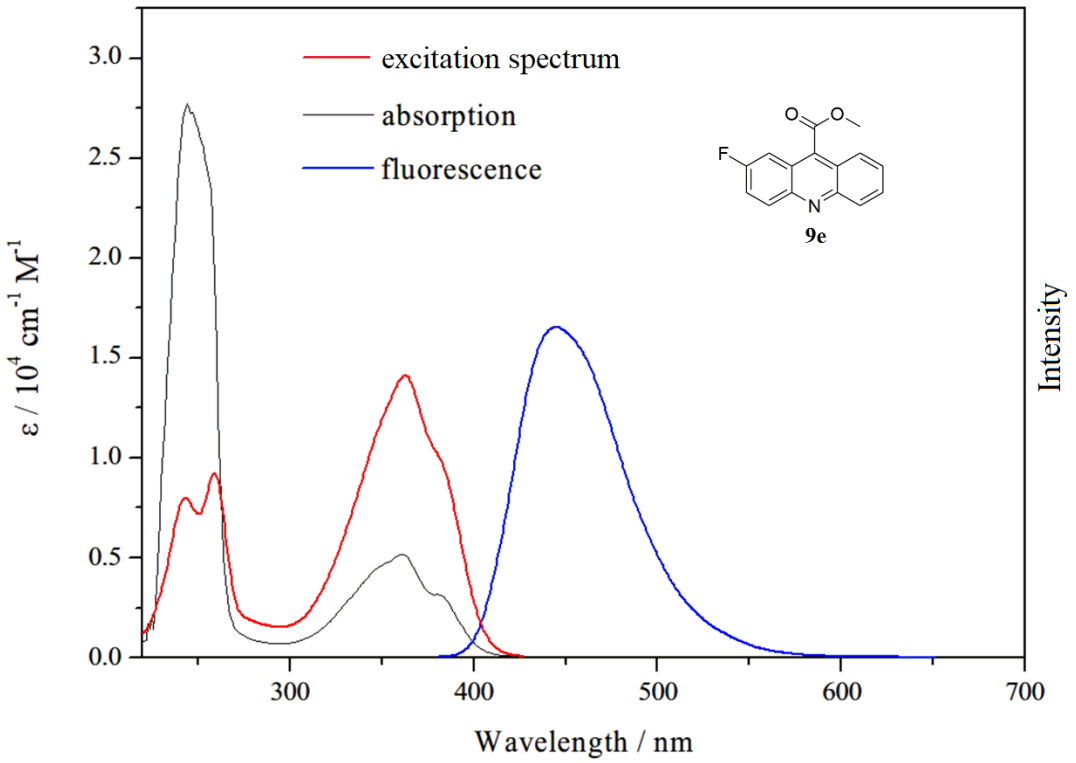


Figure S5. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9e** in $CHCl_2$

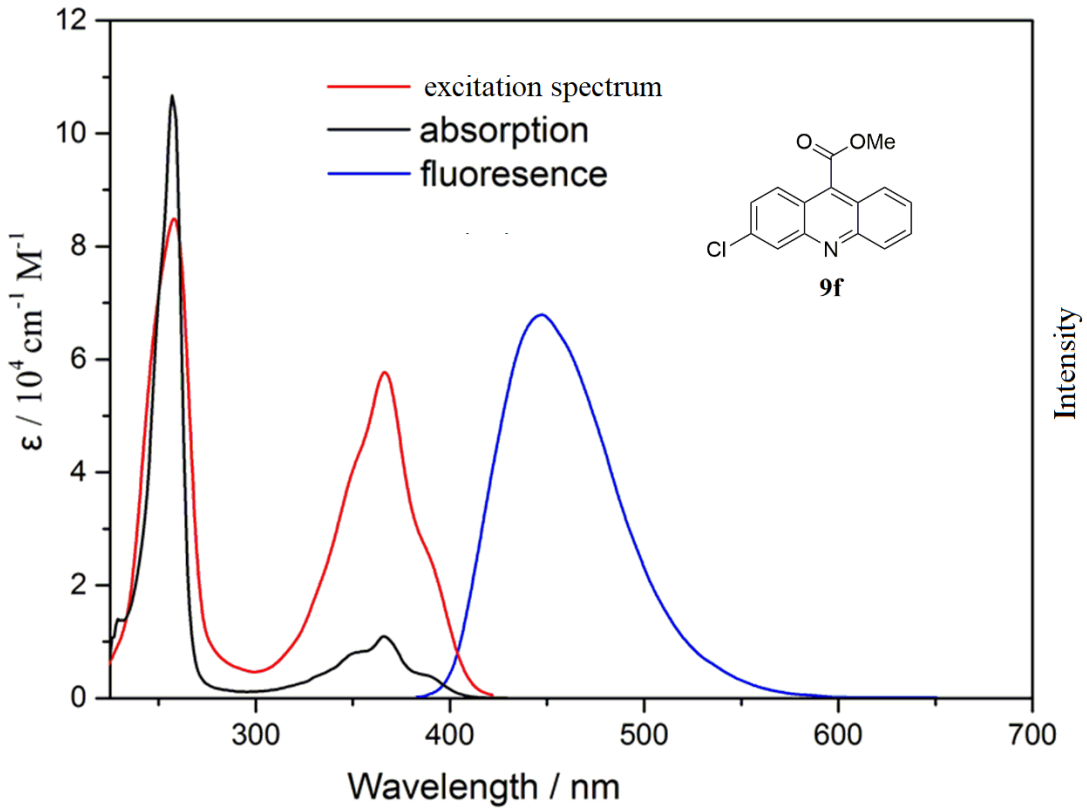


Figure S6. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9f** in $CHCl_2$

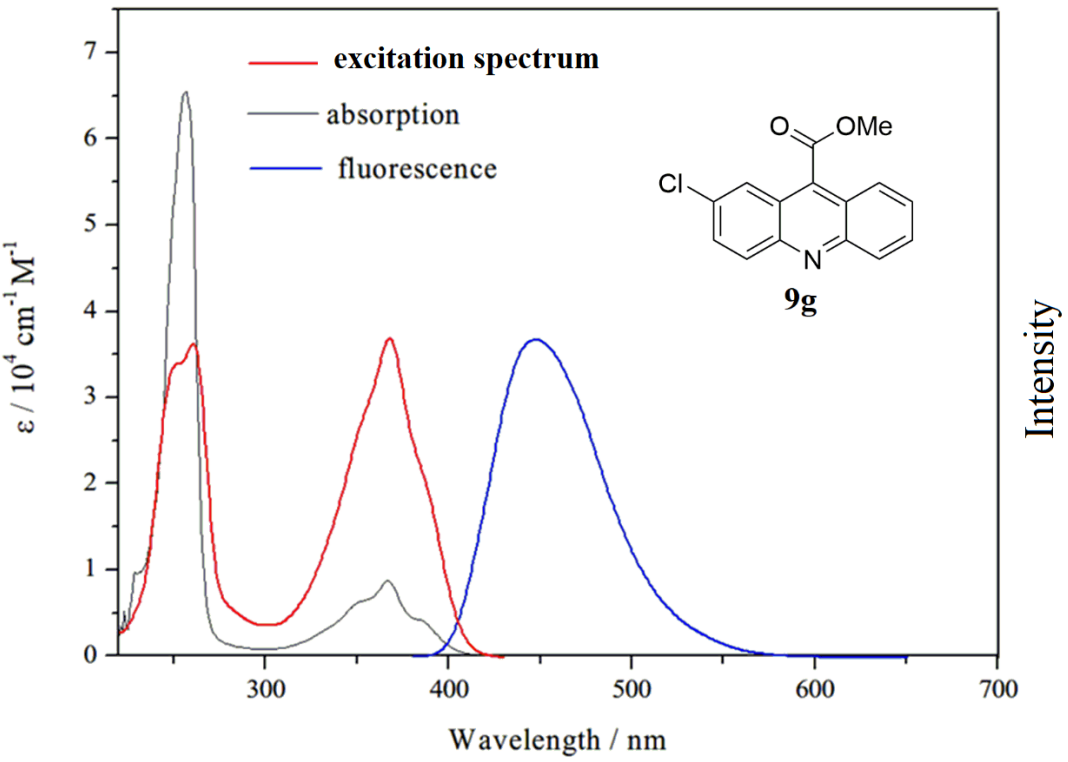


Figure S7. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9g** in $CHCl_2$

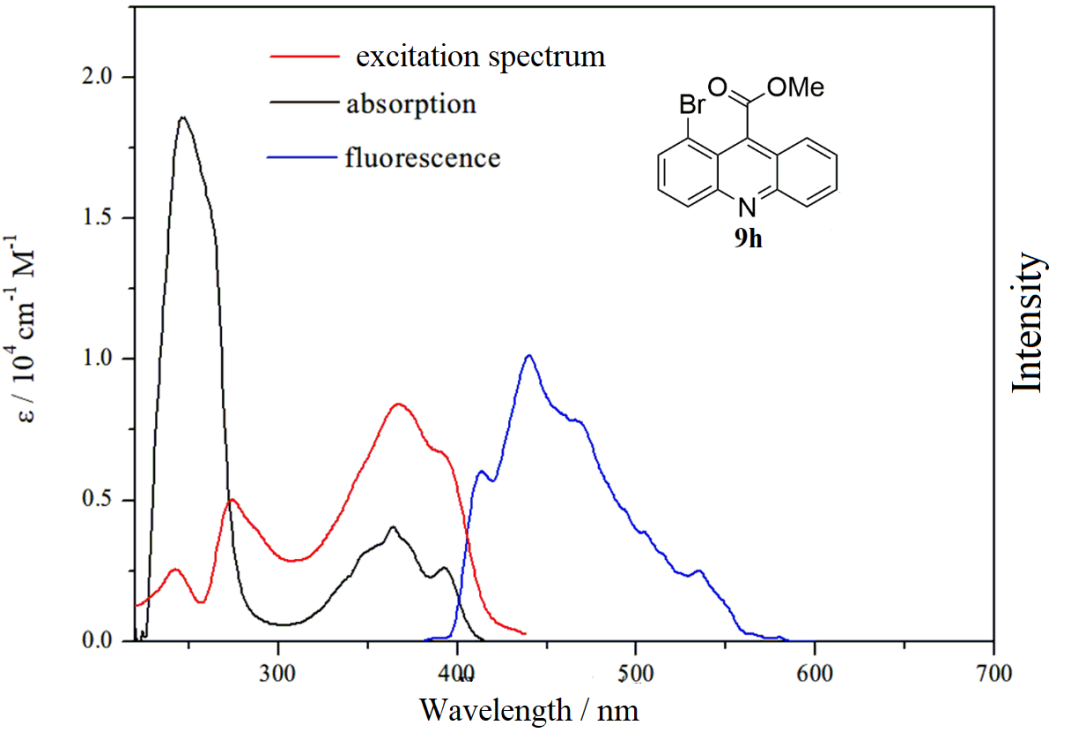


Figure S8. UV-vis absorption, excited and fluorescence (excited at 320 nm) spectra of **9h** in $CHCl_2$

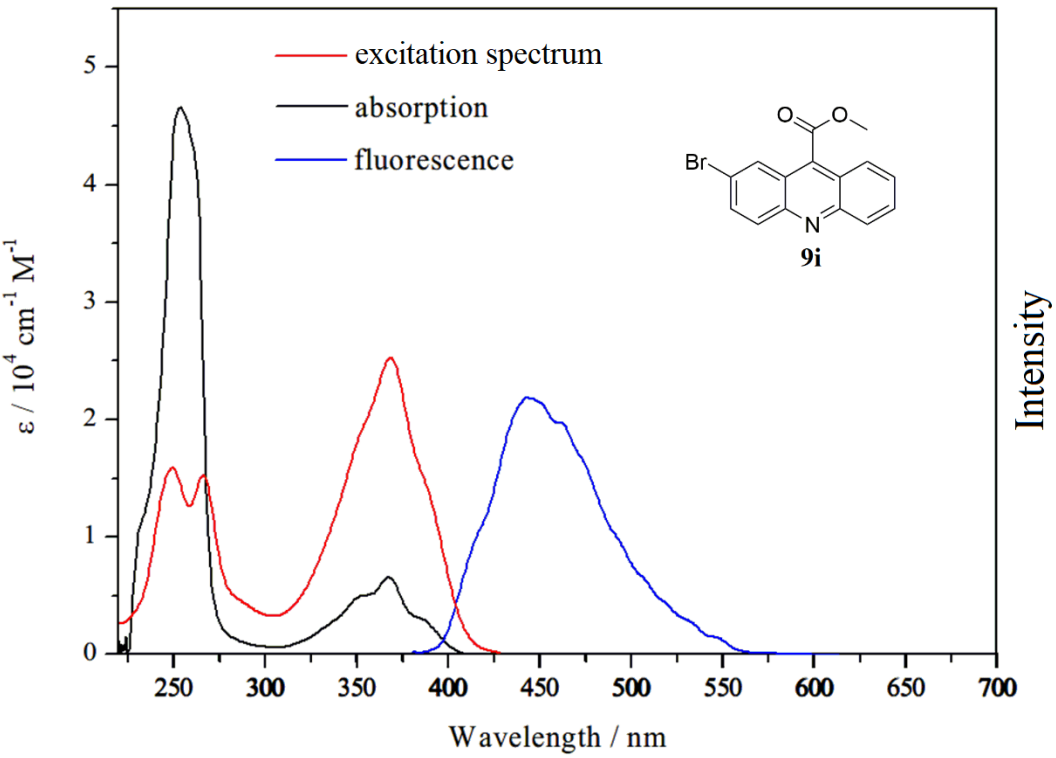


Figure S9. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9i** in $CHCl_2$

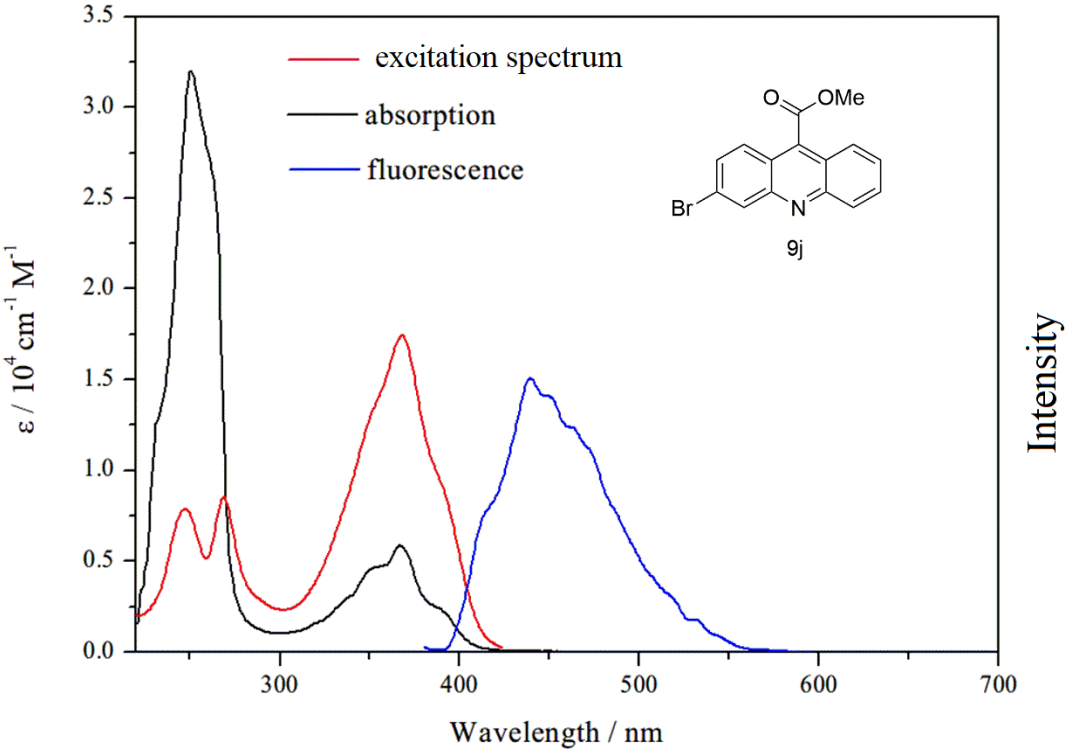


Figure S10. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9j** in $CHCl_2$

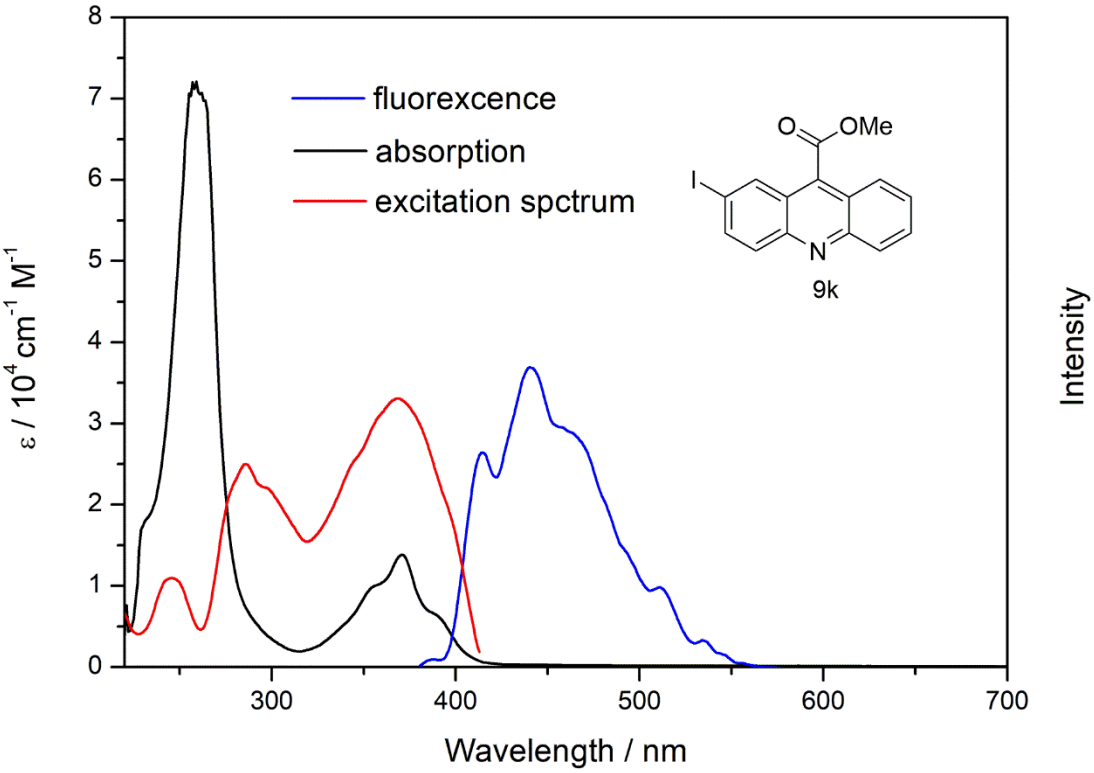


Figure S11. UV-vis absorption, excited and fluorescence (excited at 340 nm) spectra of **9k** in $CHCl_2$

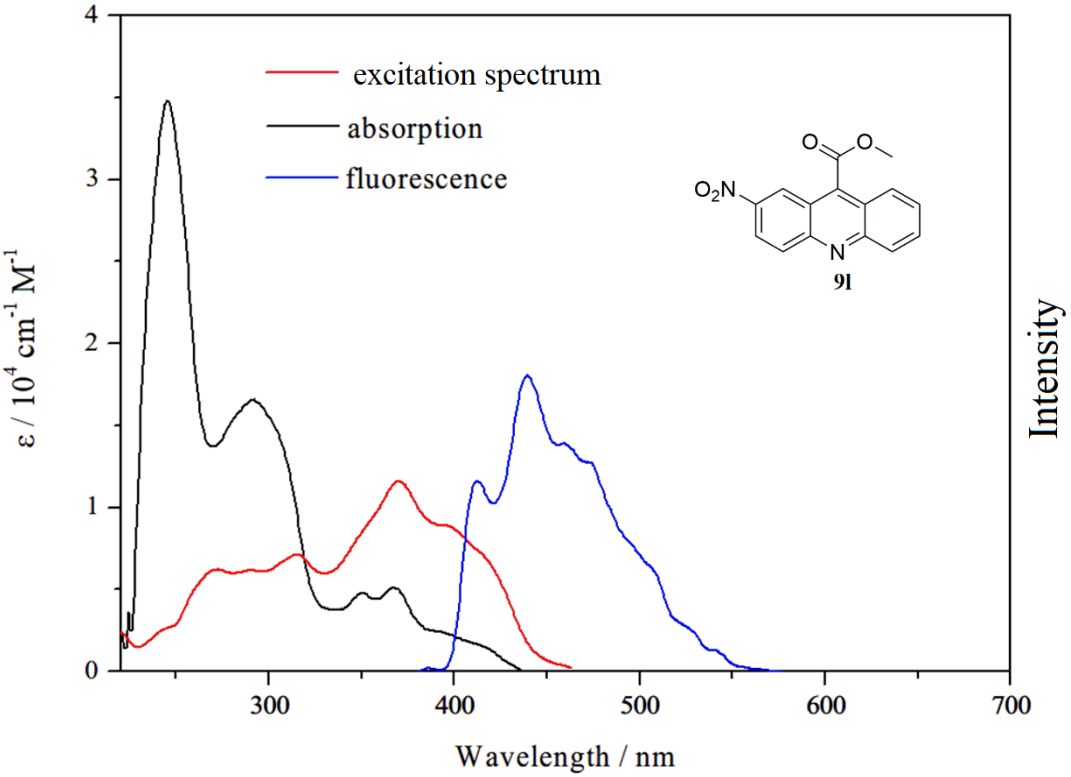


Figure S12. UV-vis absorption, excited and fluorescence (excited at 350 nm) spectra of **9l** in $CHCl_2$

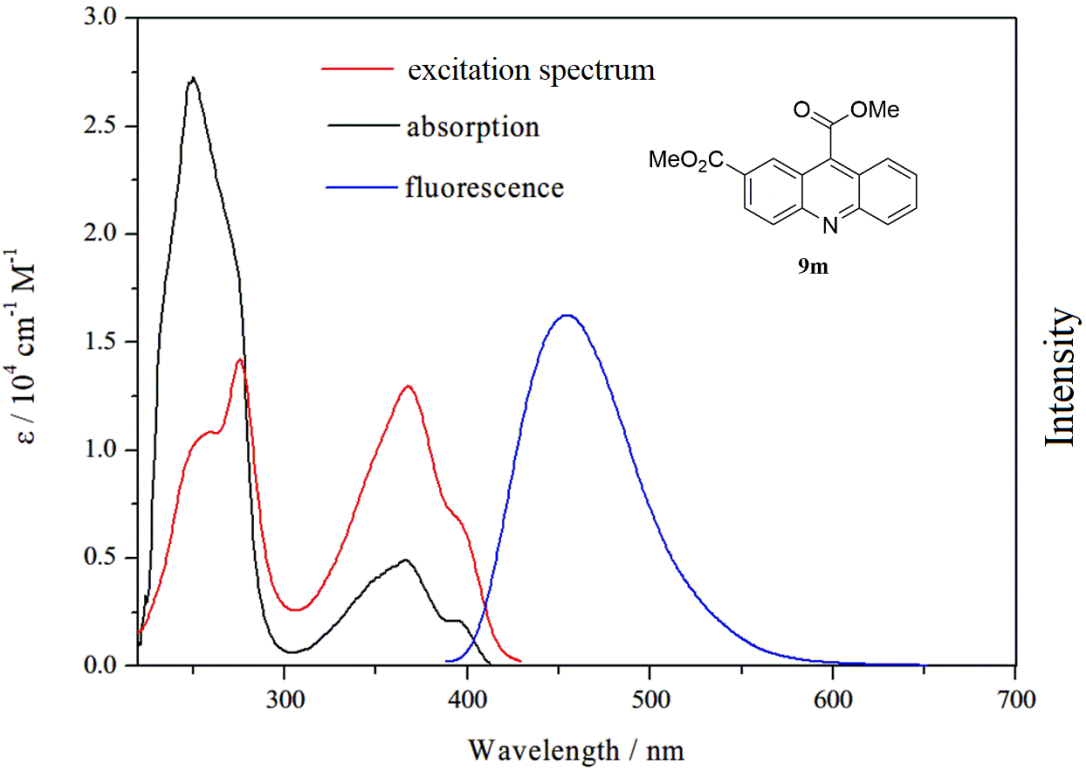


Figure S13. UV-vis absorption, excited and fluorescence (excited at 350 nm) spectra of **9m** in $CHCl_2$

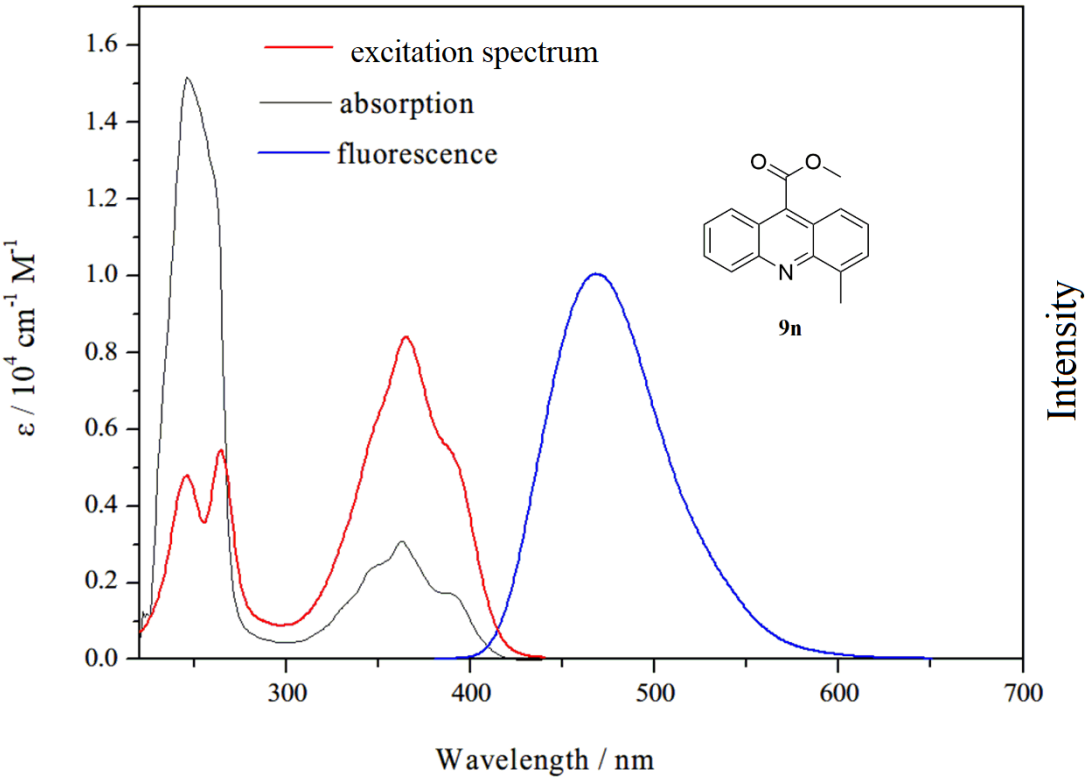


Figure S14. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9n** in $CHCl_2$

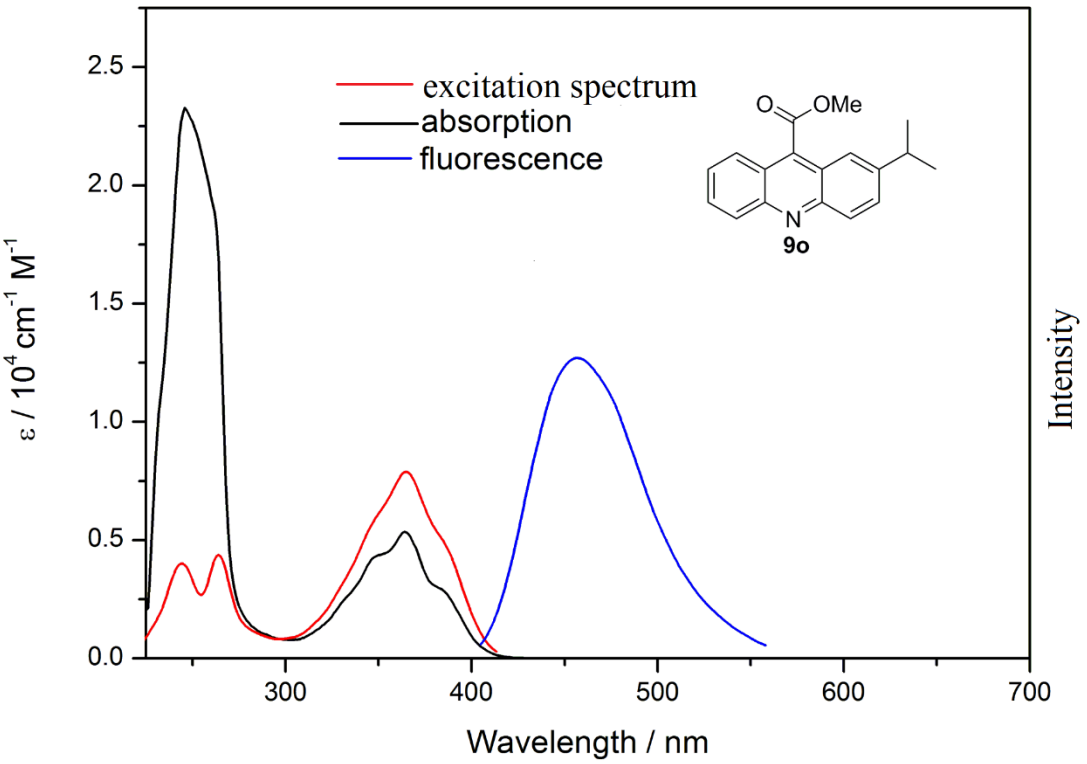


Figure S15. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9o** in $CHCl_2$

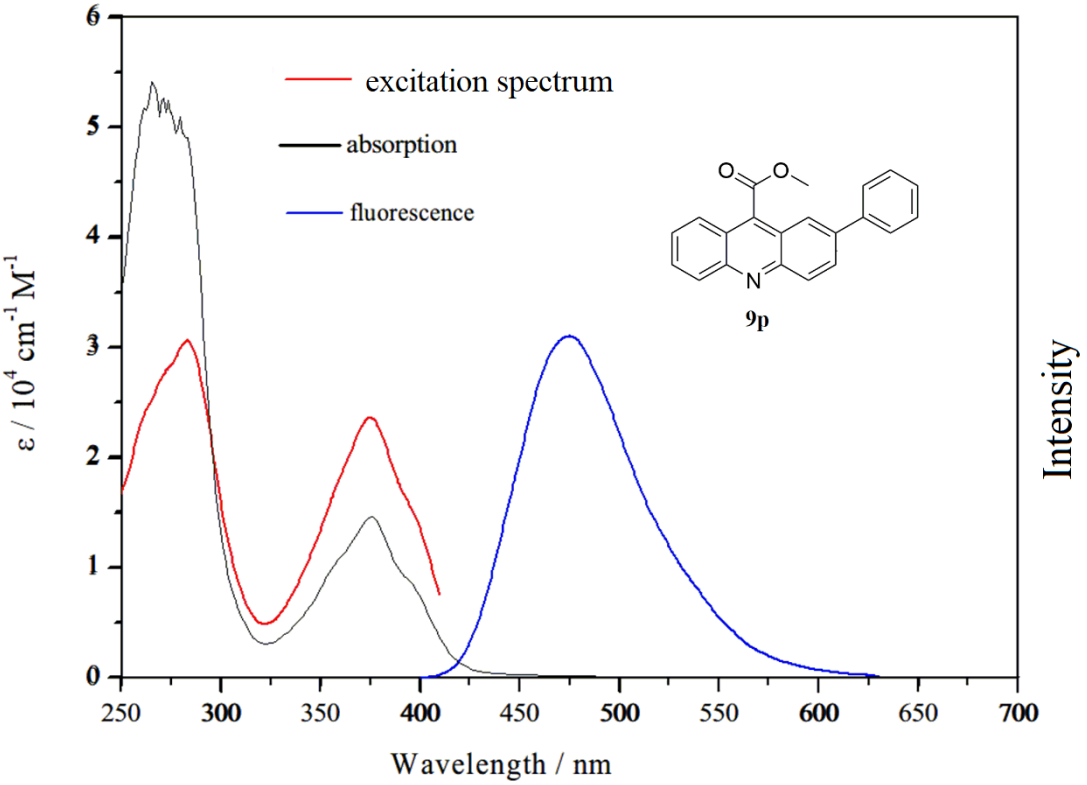


Figure S16. UV-vis absorption, excited and fluorescence (excited at 370 nm) spectra of **9p** in $CHCl_2$

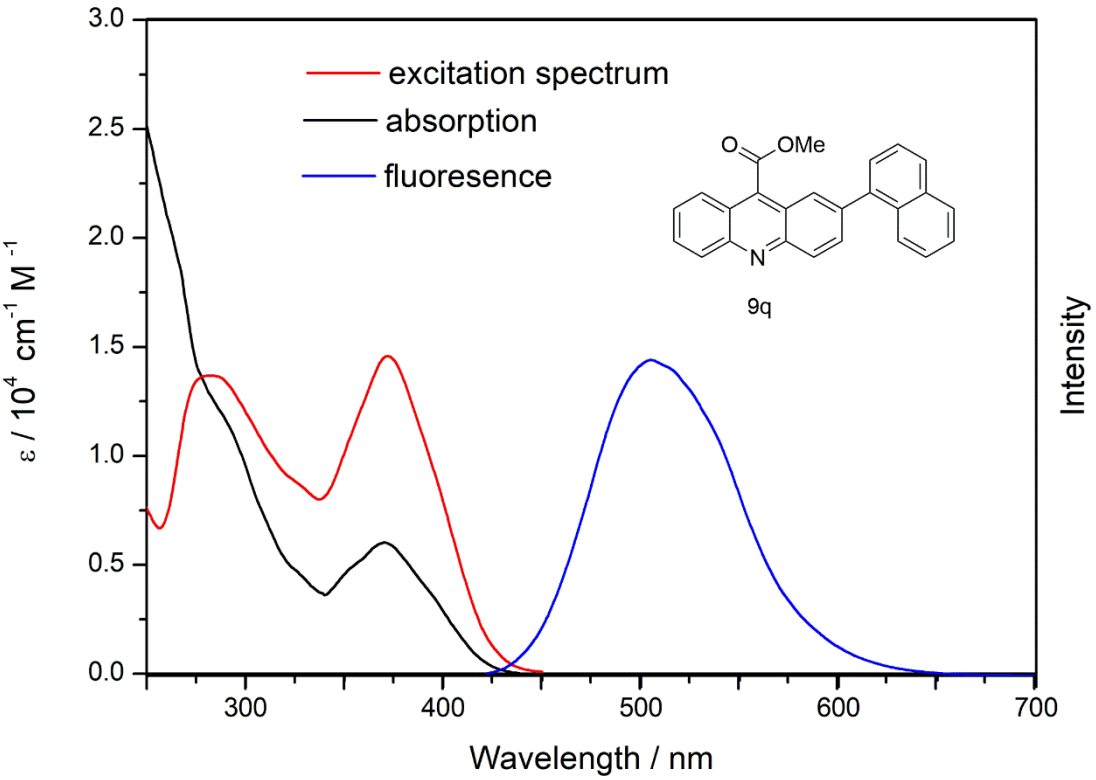


Figure S17. UV-vis absorption, excited and fluorescence (excited at 370 nm) spectra of **9q** in $CHCl_2$

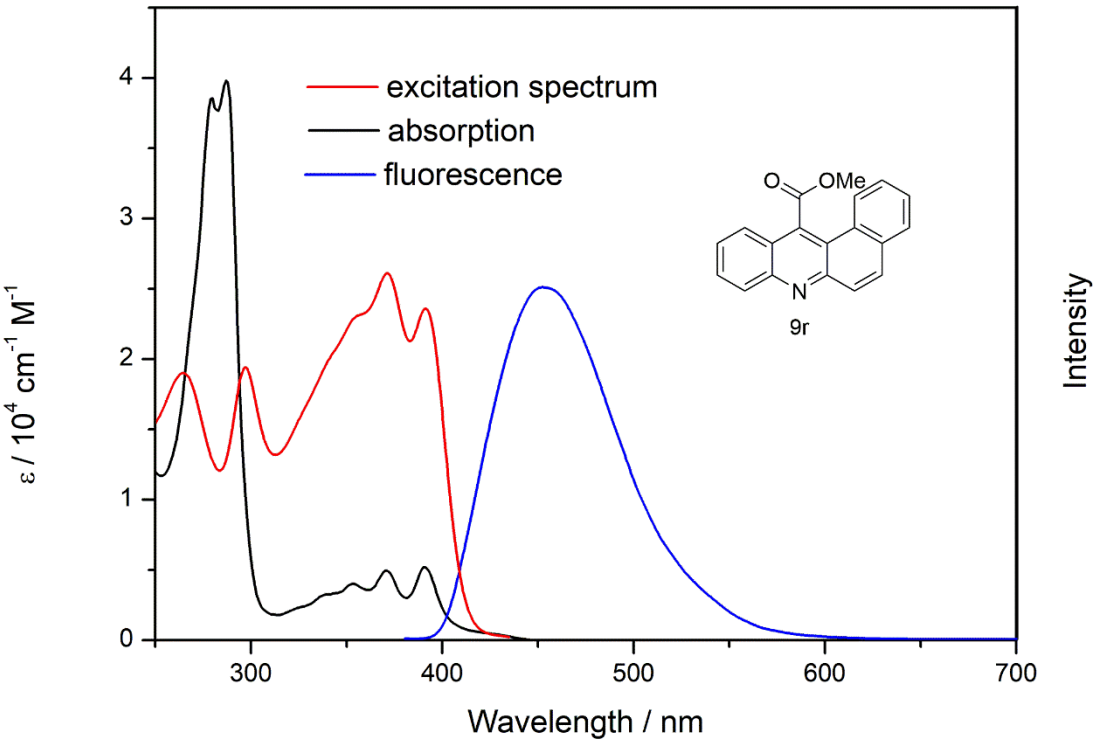


Figure S18. UV-vis absorption, excited and fluorescence (excited at 370 nm) spectra of **9r** in $CHCl_2$

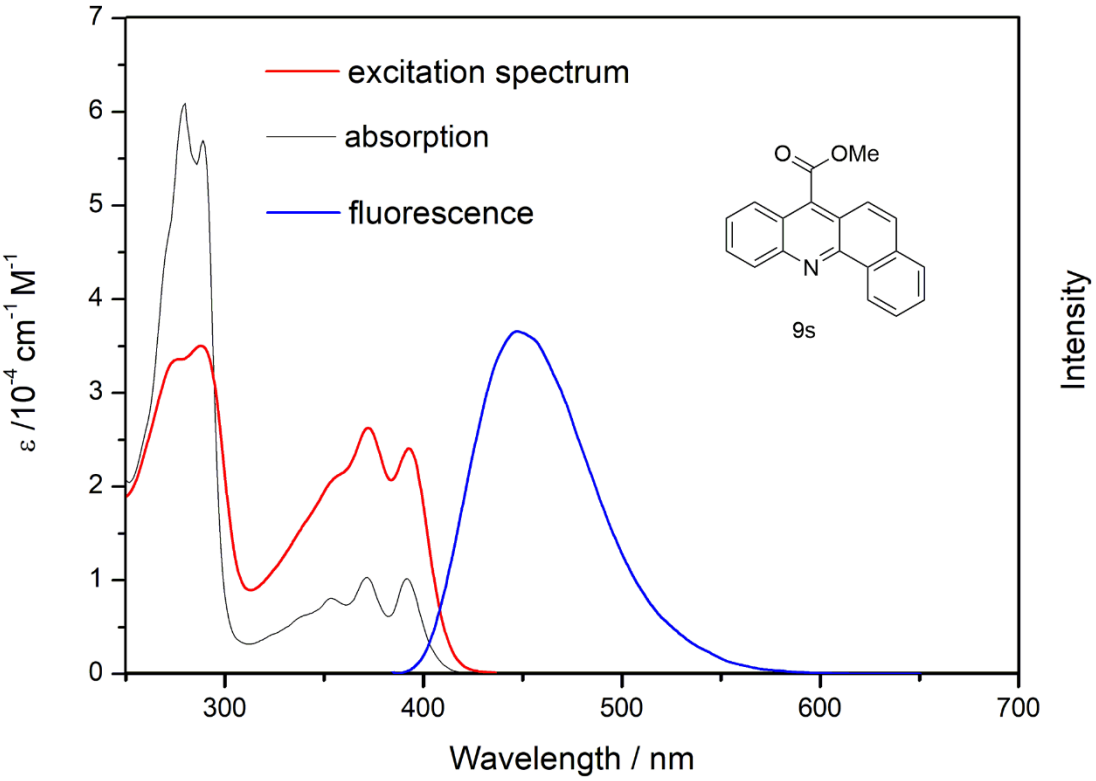


Figure S19. UV-vis absorption, excited and fluorescence (excited at 370 nm) spectra of **9s** in $CHCl_2$

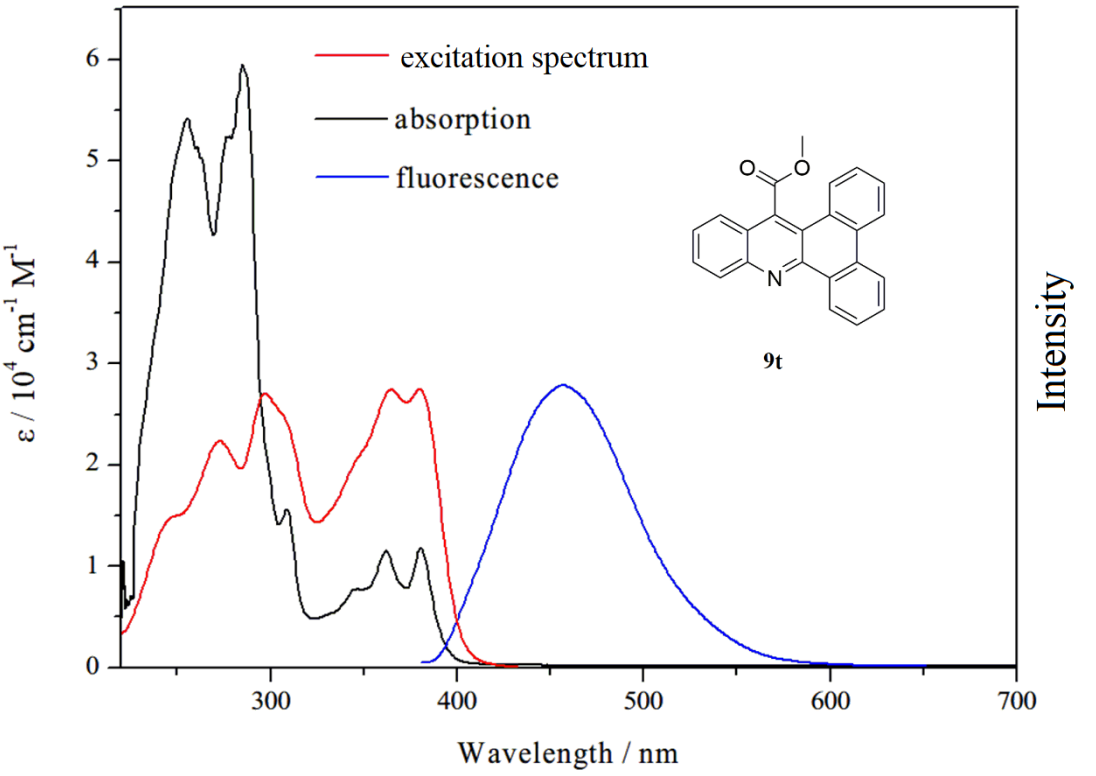


Figure S20. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9t** in $CHCl_2$

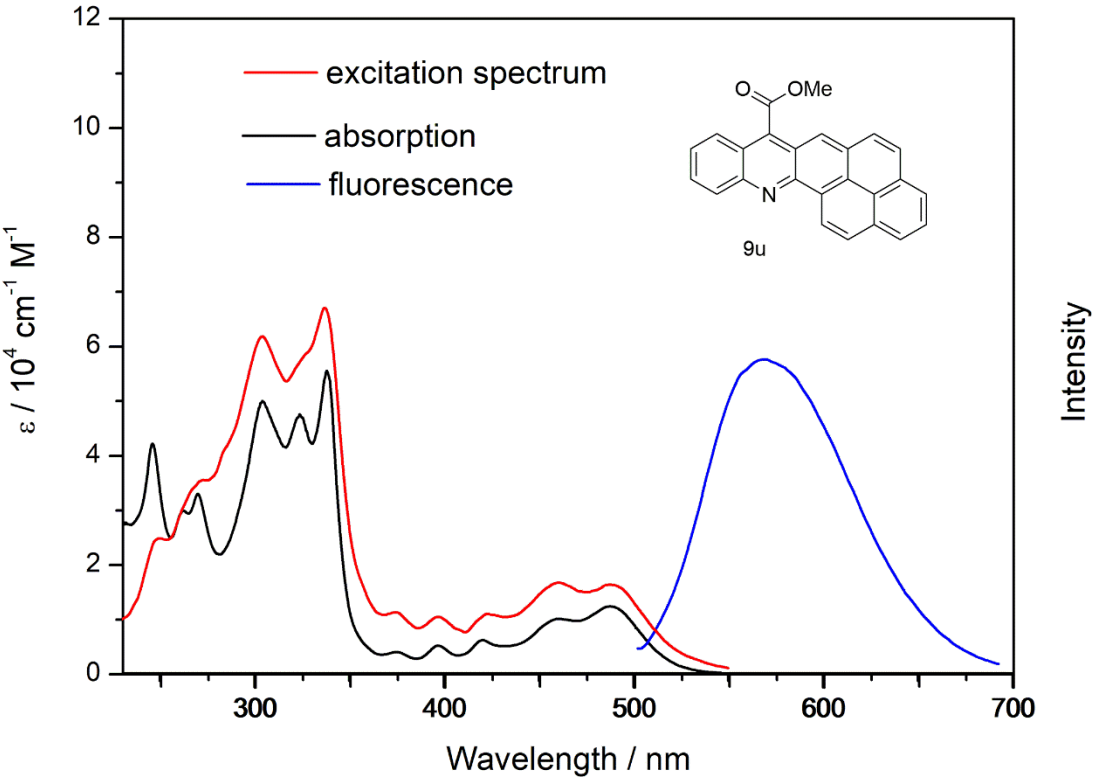


Figure S21. UV-vis absorption, excited and fluorescence (excited at 490 nm) spectra of **9u** in $CHCl_2$

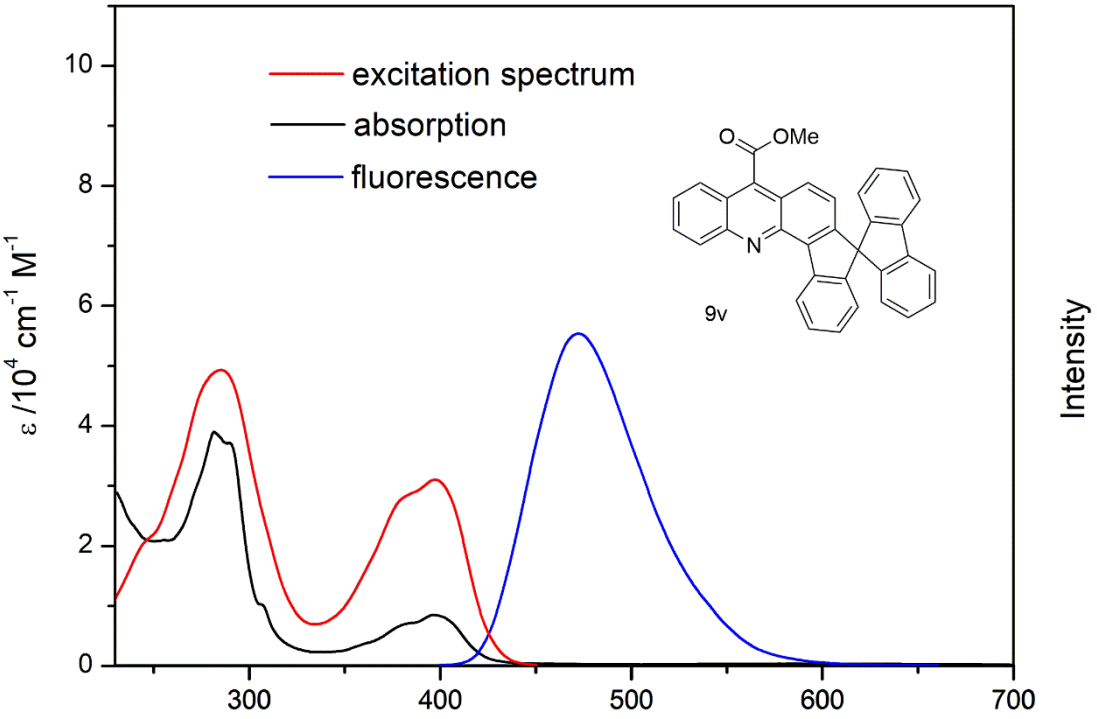


Figure S22. UV-vis absorption, excited and fluorescence (excited at 370 nm) spectra of **9v** in $CHCl_2$

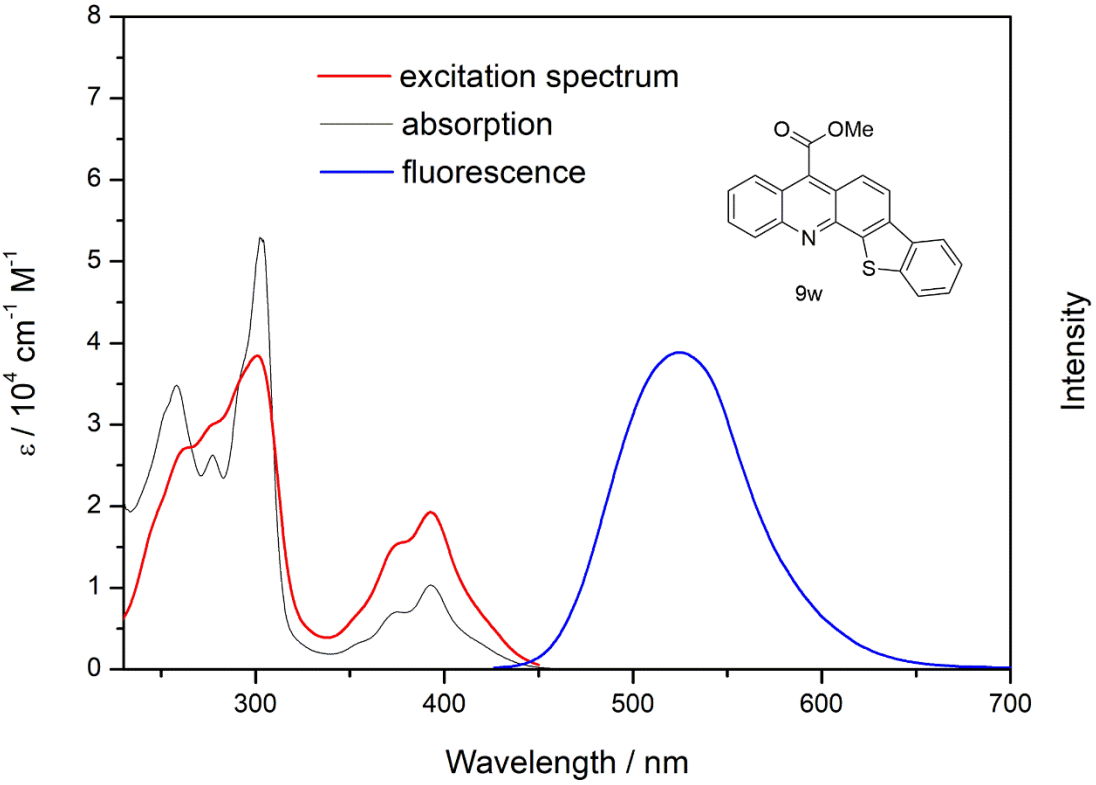


Figure S23. UV-vis absorption, excited and fluorescence (excited at 301 nm) spectra of **9w** in $CHCl_2$

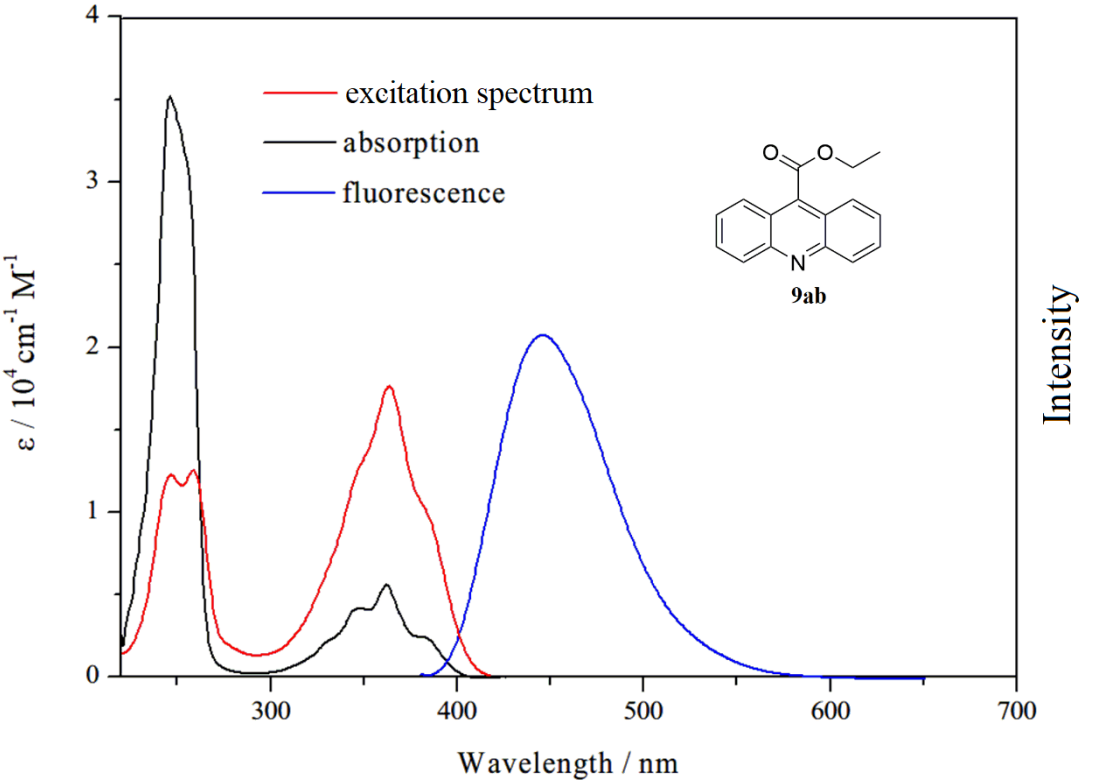


Figure S24. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9ab** in $CHCl_2$

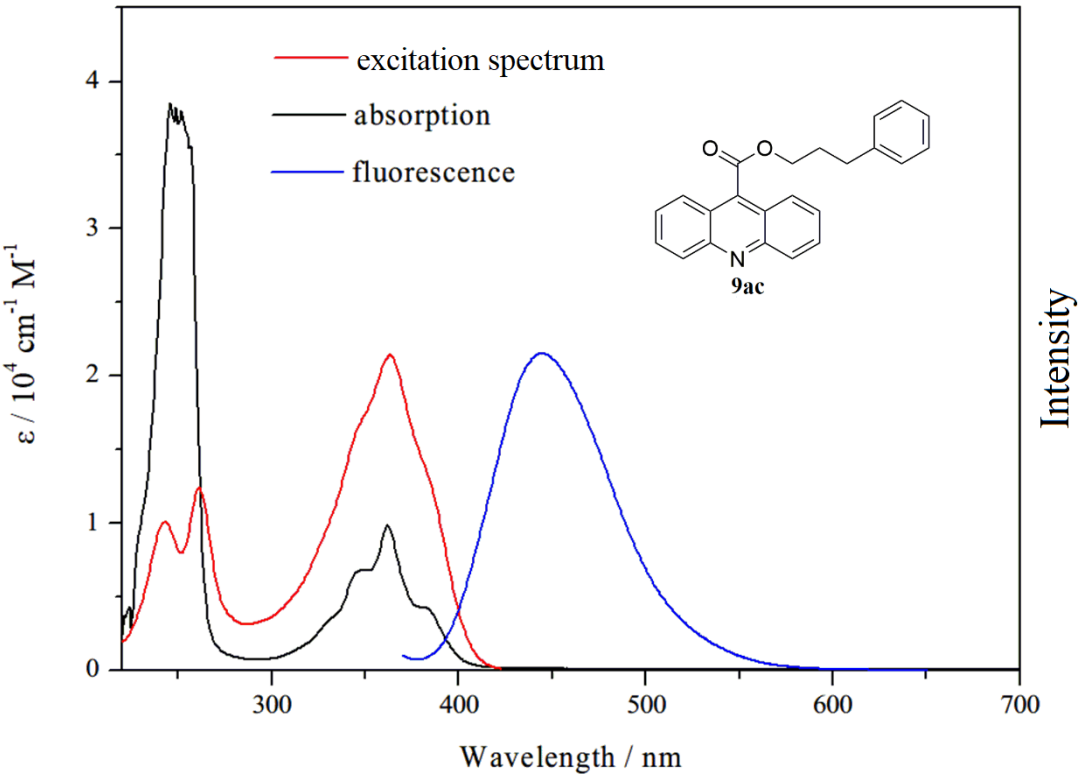


Figure S25. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9ac** in $CHCl_2$

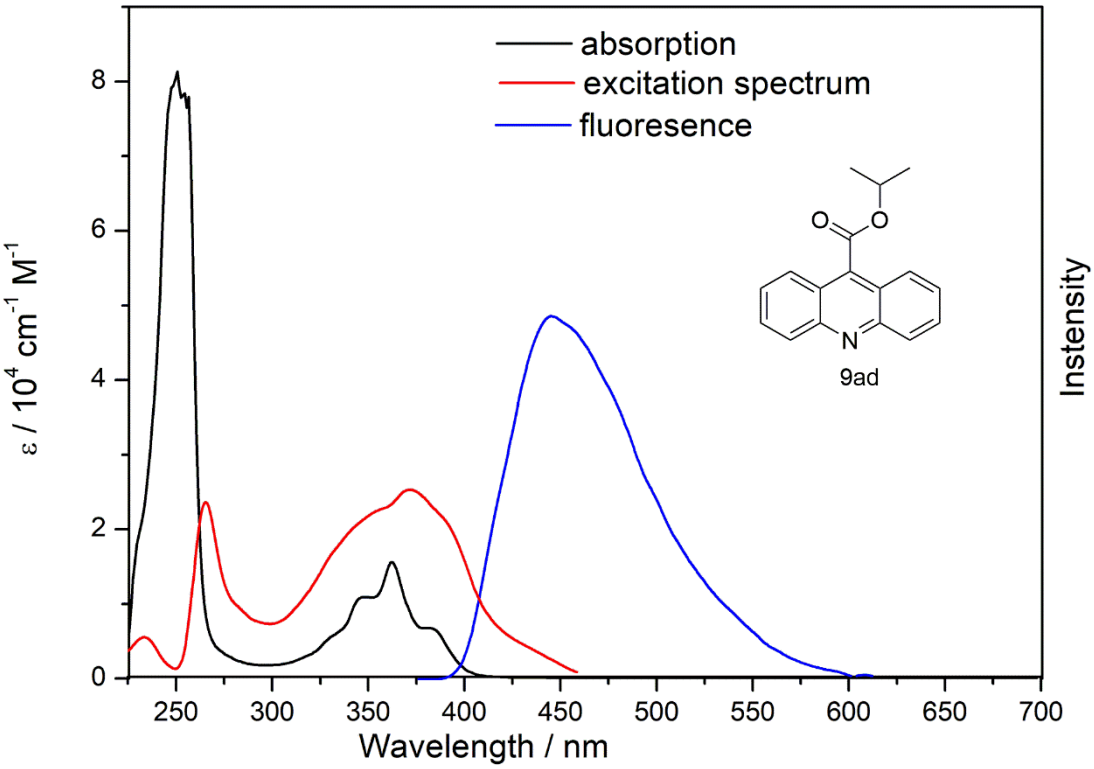


Figure S26. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9ad** in $CHCl_2$

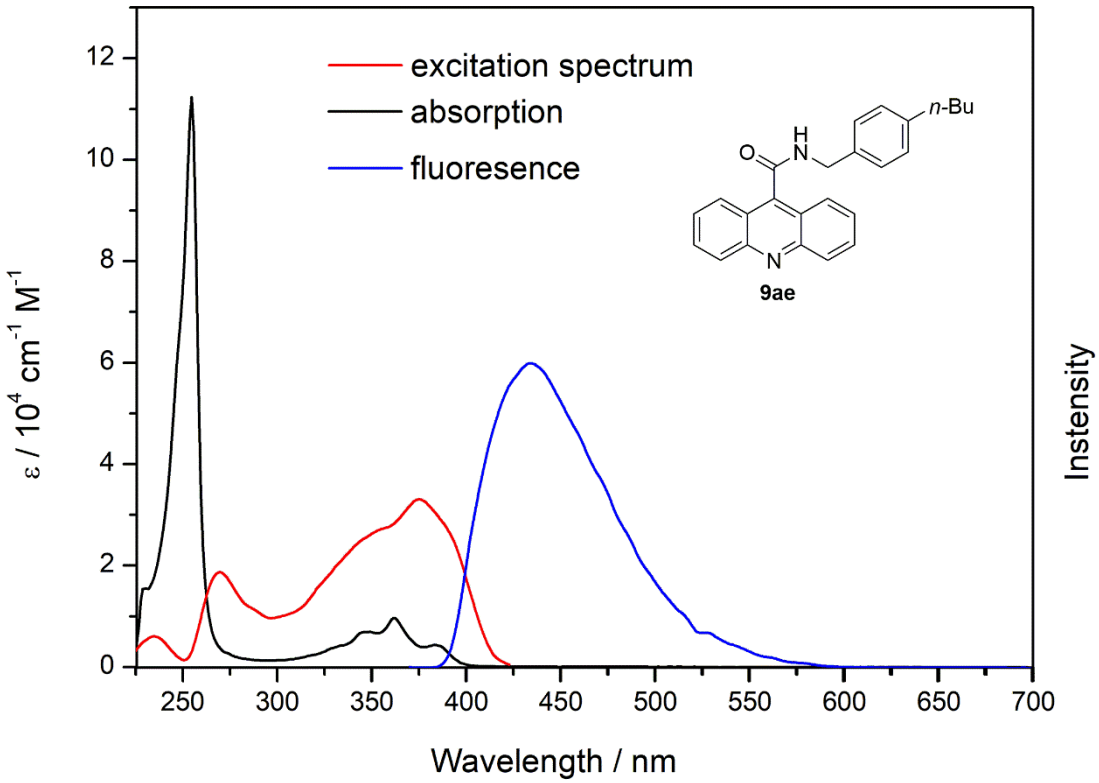


Figure S27. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9ae** in $CHCl_2$

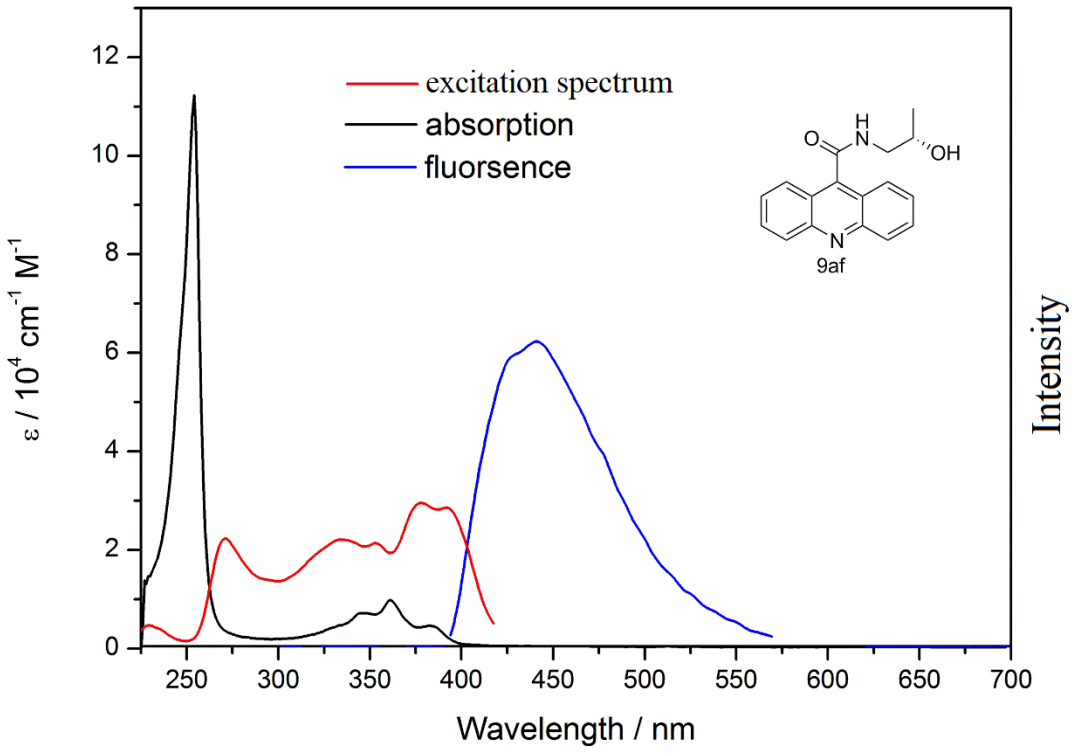


Figure S28. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9af** in $CHCl_2$

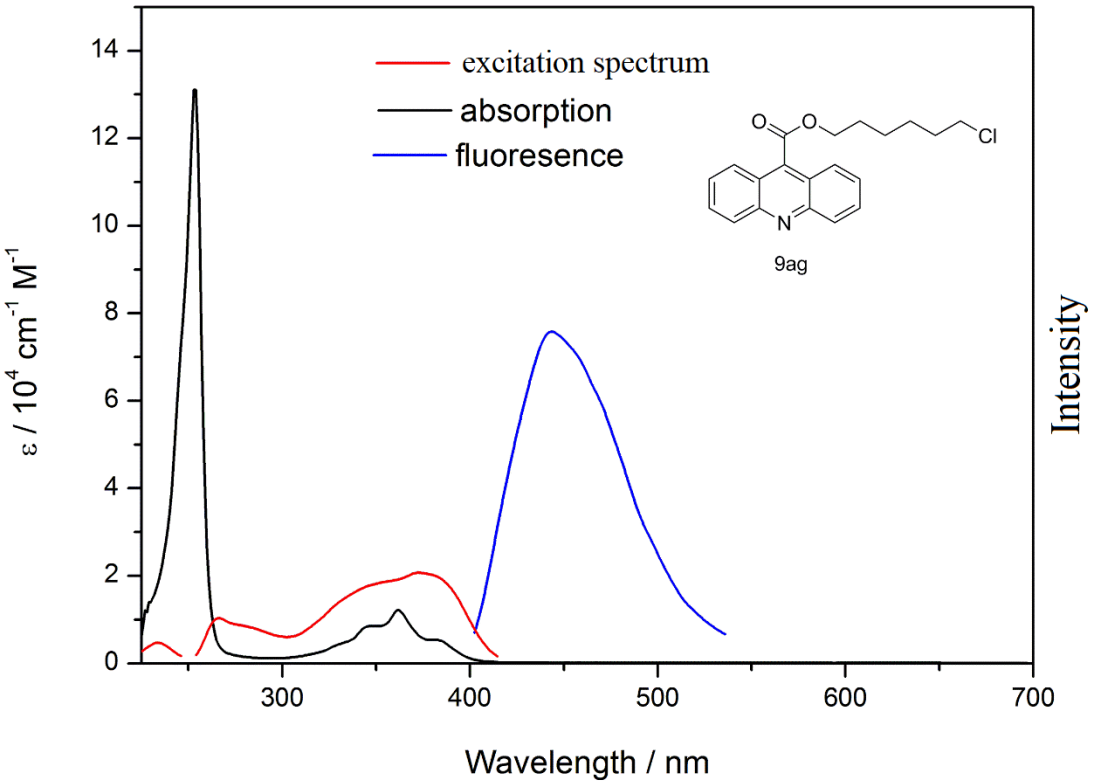


Figure S29. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9ag** in $CHCl_2$

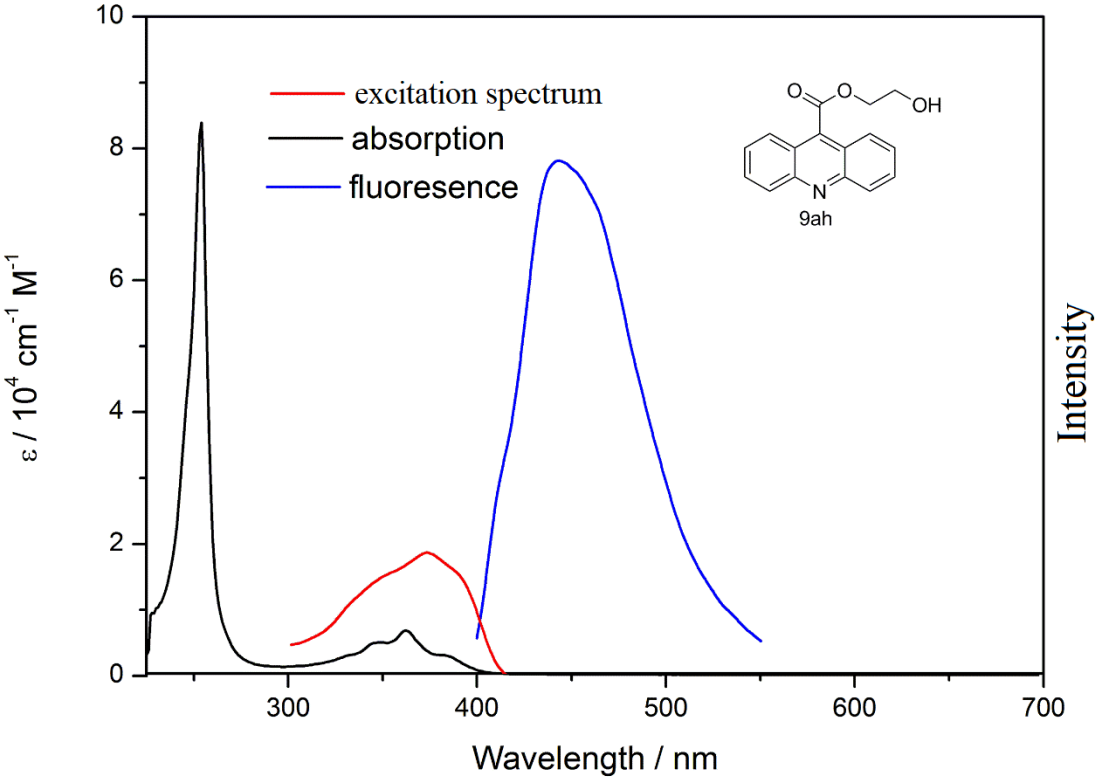


Figure S30. UV-vis absorption, excited and fluorescence (excited at 360 nm) spectra of **9ah** in $CHCl_2$

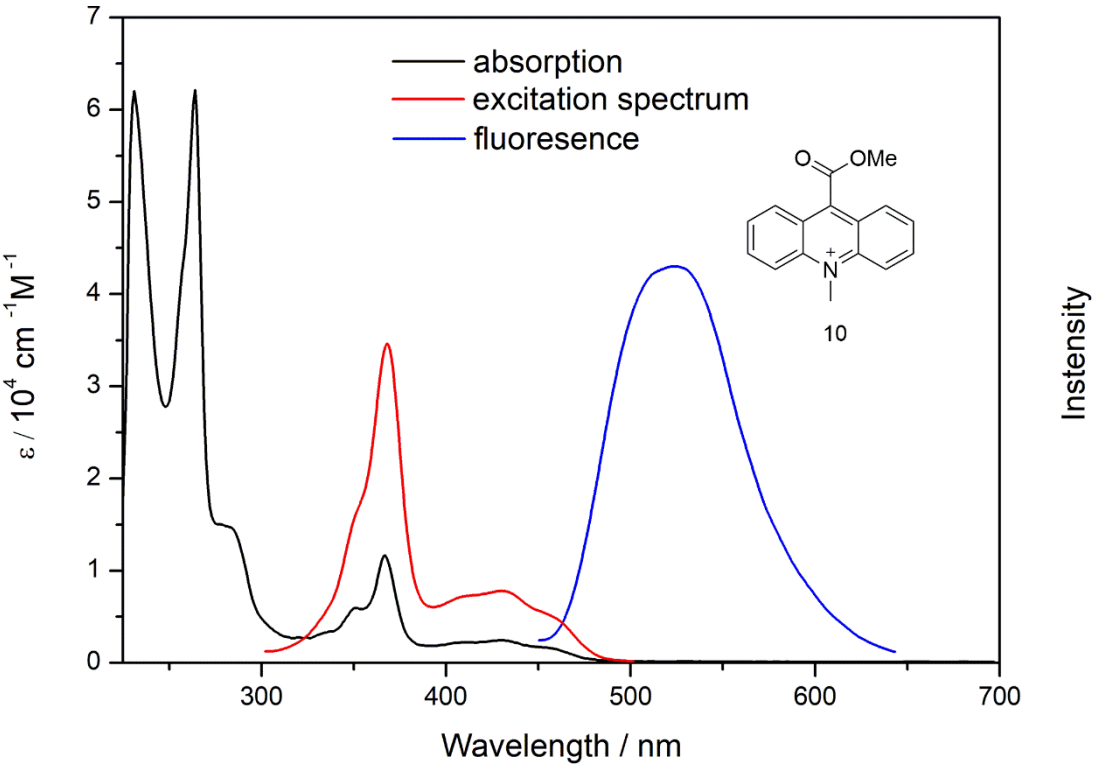


Figure S31. UV-vis absorption, excited and fluorescence (excited at 370 nm) spectra of **10** in $CHCl_2$

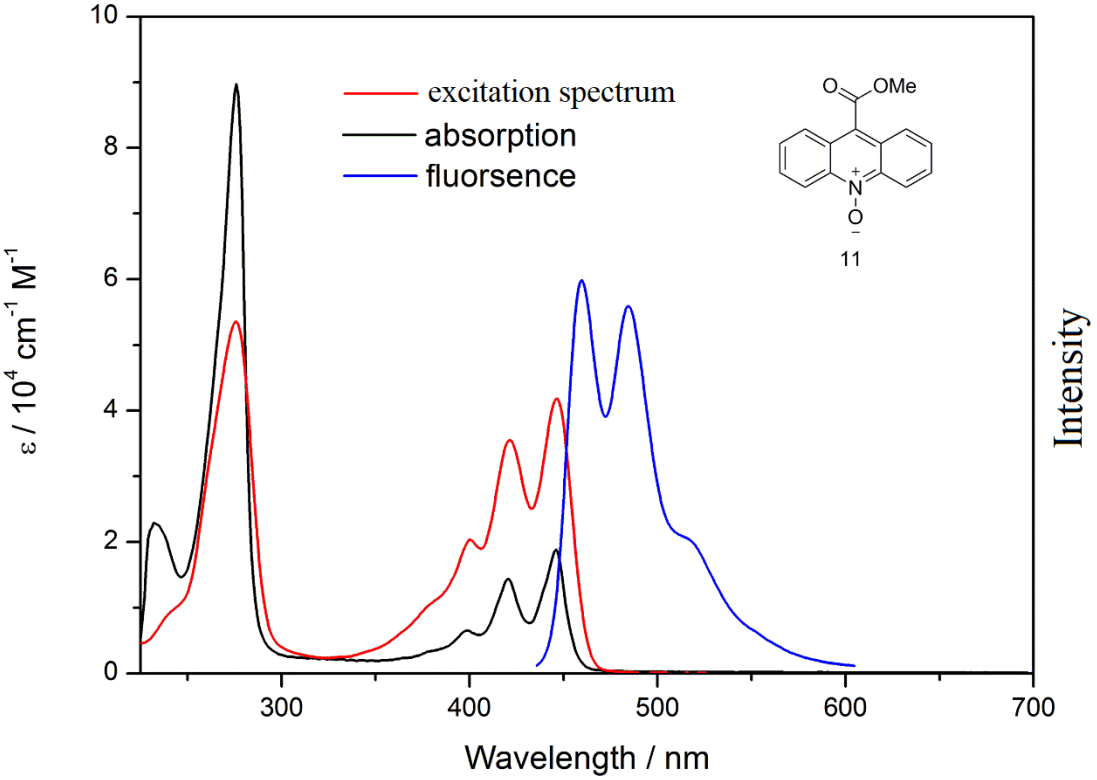
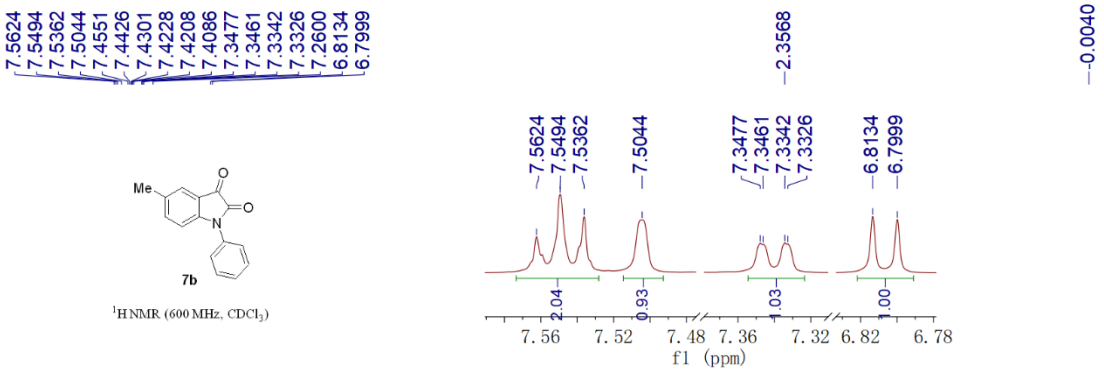
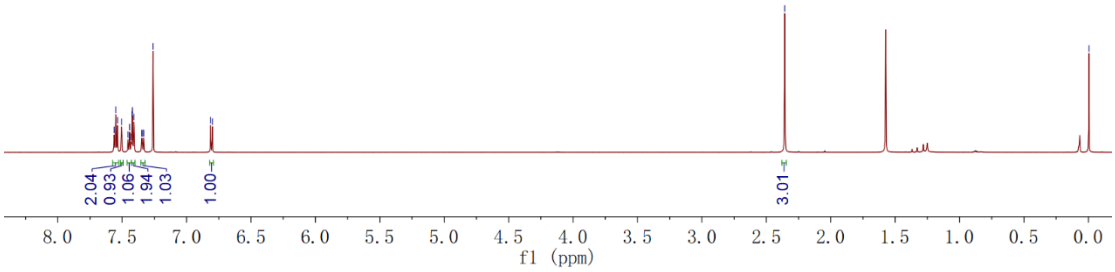
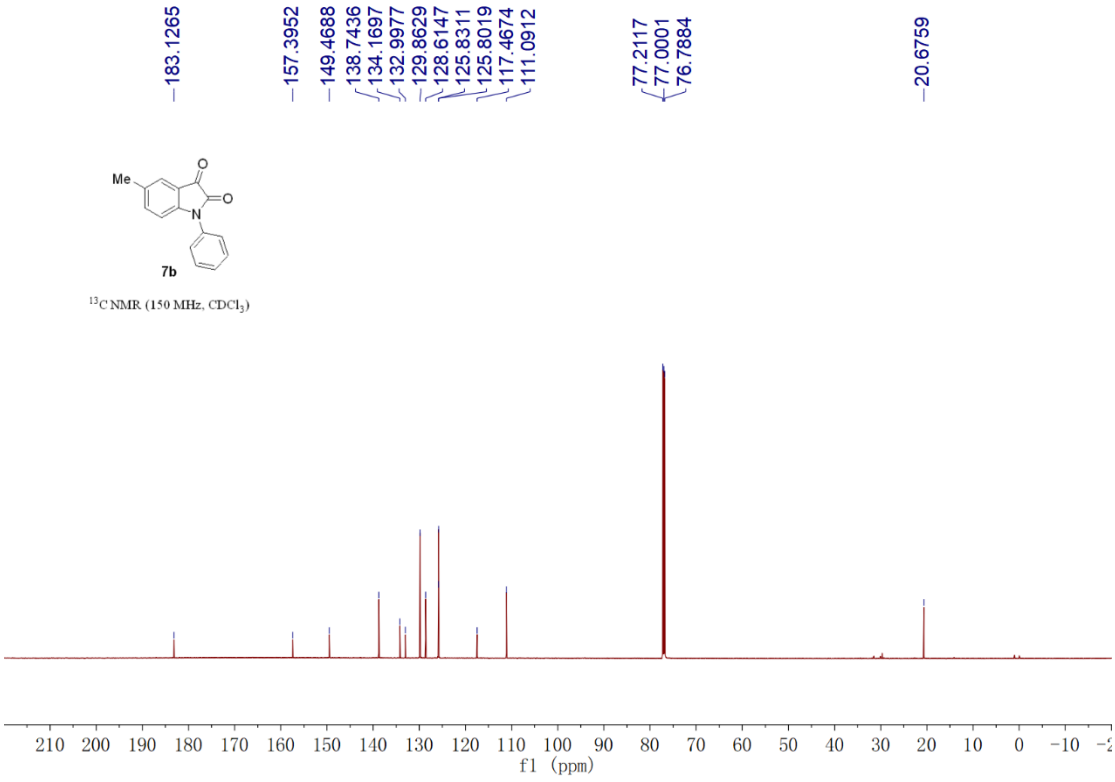
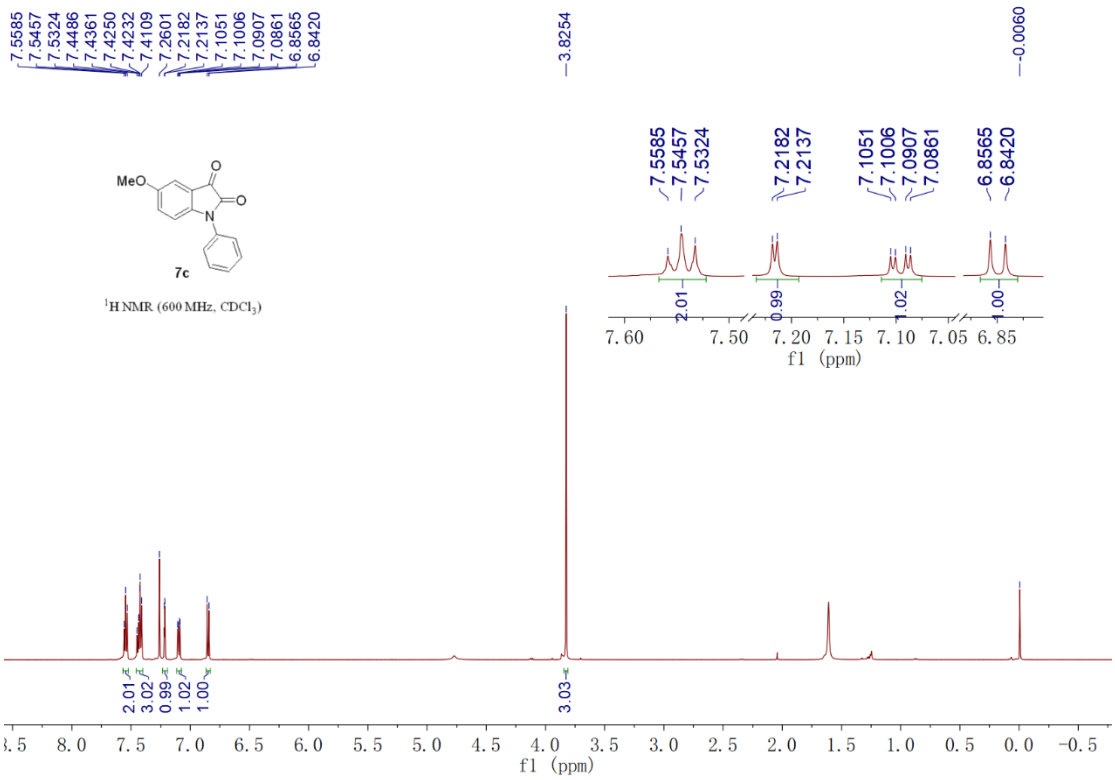


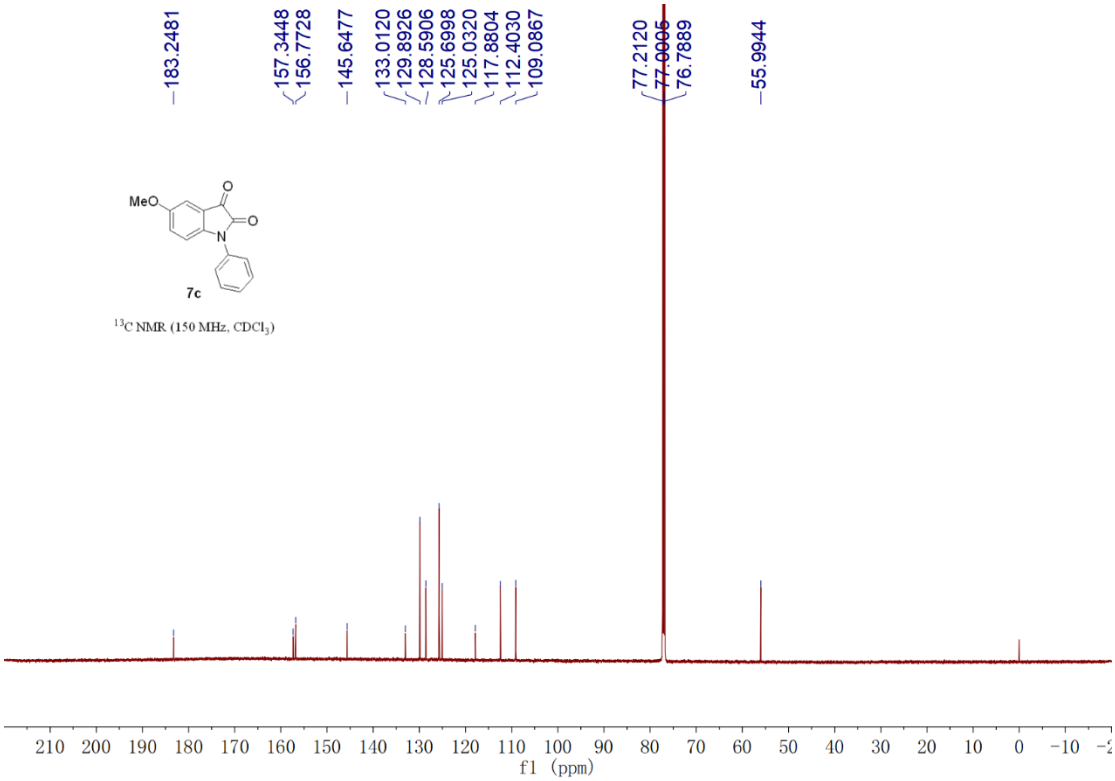
Figure S32. UV-vis absorption, excited and fluorescence (excited at 420 nm) spectra of **11** in $CHCl_2$

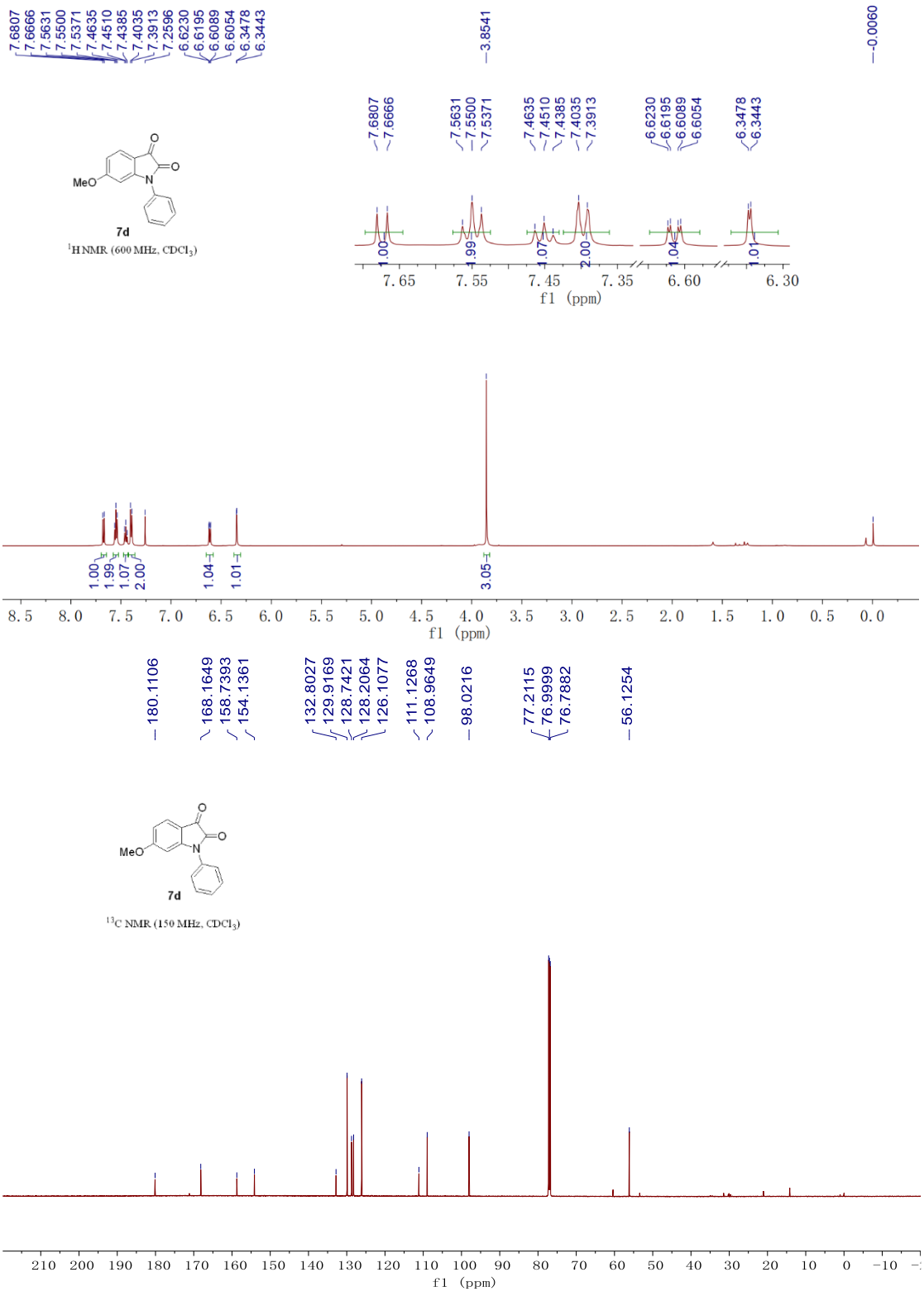

7.5624
7.5494
7.5362
7.5044
7.4551
7.4426
7.4301
7.4228
7.4208
7.4086
7.3477
7.3461
7.3342
7.3326
7.2600
6.8134
6.7999
2.3568
-0.0040
Me
O
O
N
7b
1H NMR (600 MHz, CDCl3)
2.04
0.93
1.03
1.00
7.56
7.52
7.48
7.36
7.32
6.82
6.78
f1 (ppm)

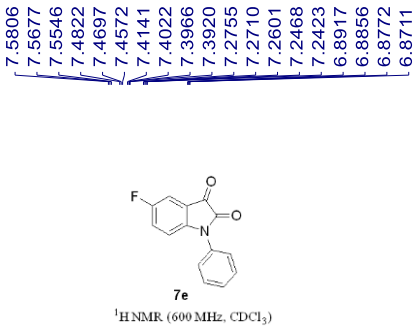

2.04
0.93
1.06
1.94
1.03
1.00
3.01
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

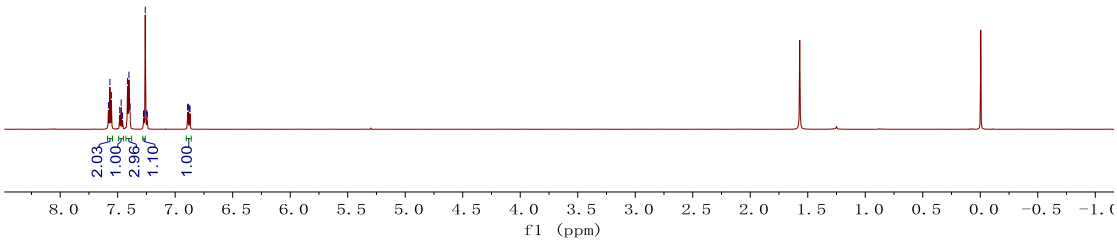

183.1265
157.3952
149.4688
138.7436
134.1697
132.9977
129.8629
128.6147
125.8311
125.8019
117.4674
111.0912
77.2117
77.0001
76.7884
20.6759
Me
O
O
N
7b
13C NMR (150 MHz, CDCl3)
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
-10
f1 (ppm)

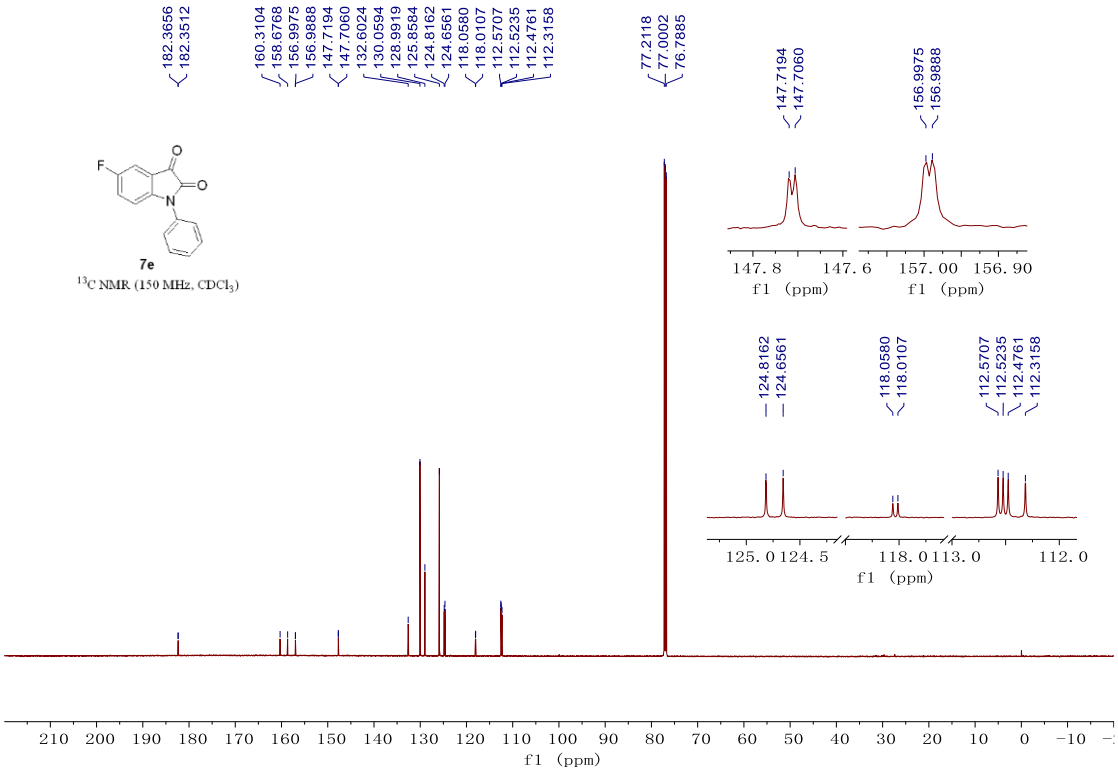

7.5585
7.5457
7.5324
7.4486
7.4361
7.4250
7.4232
7.4109
7.2601
7.2182
7.2137
7.1051
7.1006
7.0907
7.0861
6.8565
6.8420
3.8254
0.0060
MeO
7c
^{1}H NMR (600 MHz, CDCl$_3$)
2.01
3.02
0.99
1.02
1.00
3.03
f1 (ppm)

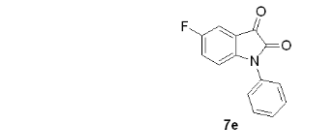

183.2481
157.3448
156.7728
145.6477
133.0120
129.8926
128.5906
125.6998
125.0320
117.8804
112.4030
109.0867
77.2120
77.0005
76.7889
55.9944
MeO
7c
^{13}C NMR (150 MHz, CDCl$_3$)
f1 (ppm)


7.6807
7.6666
7.5631
7.5500
7.5371
7.4635
7.4510
7.4385
7.4035
7.3913
7.2596
6.6230
6.6195
6.6089
6.6054
6.3478
6.3443
3.8541
0.0060
MeO
7d
1H NMR (600 MHz, CDCl3)
1.00
1.99
1.07
2.00
1.04
1.01
3.05
f1 (ppm)
180.1106
168.1649
158.7393
154.1361
132.8027
129.9169
128.7421
128.2064
126.1077
111.1268
108.9649
98.0216
77.2115
76.9999
76.7882
56.1254
13C NMR (150 MHz, CDCl3)


7.5806
7.5677
7.5546
7.4822
7.4697
7.4572
7.4141
7.4022
7.3966
7.3920
7.2755
7.2710
7.2601
7.2468
7.2423
6.8917
6.8856
6.8772
6.8711
F
O
O
N
7e
^{1}H NMR (600 MHz, CDCl$_3$)
2.06
1.03
1.00
7.60
7.55
7.50
7.45
6.90
6.85
f1 (ppm)

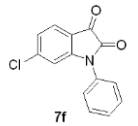

2.03
1.05
2.96
1.10
1.00
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
−0.5
f1 (ppm)


182.3656
182.3512
160.3104
158.6768
156.9975
156.9888
147.7194
147.7060
132.6024
130.0584
128.9919
125.8584
124.8162
124.6561
118.0580
118.0107
112.5707
112.5235
112.4761
112.3158
77.2118
77.0002
76.7885
F
O
O
N
7e
^{13}C NMR (150 MHz, CDCl$_3$)
147.7194
147.7060
156.9975
156.9888
147.8
147.6
157.00
156.90
f1 (ppm)
124.8162
124.6561
118.0580
118.0107
112.5707
112.5235
112.4761
112.3158
125.0
124.5
118.0
113.0
112.0
f1 (ppm)
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
−10
f1 (ppm)



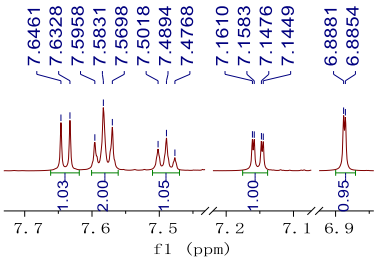
7e

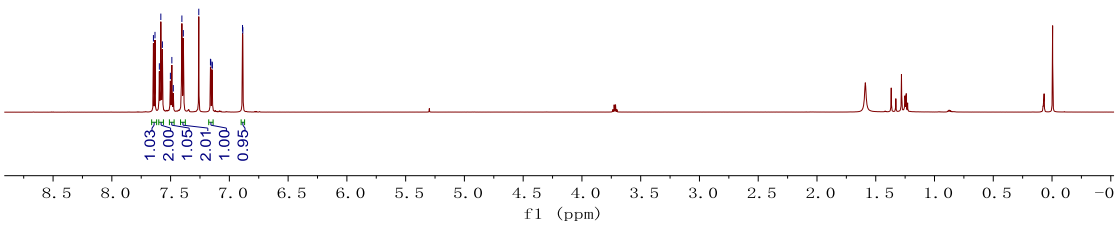
^{19}F NMR (564 MHz, $CDCl_3$)

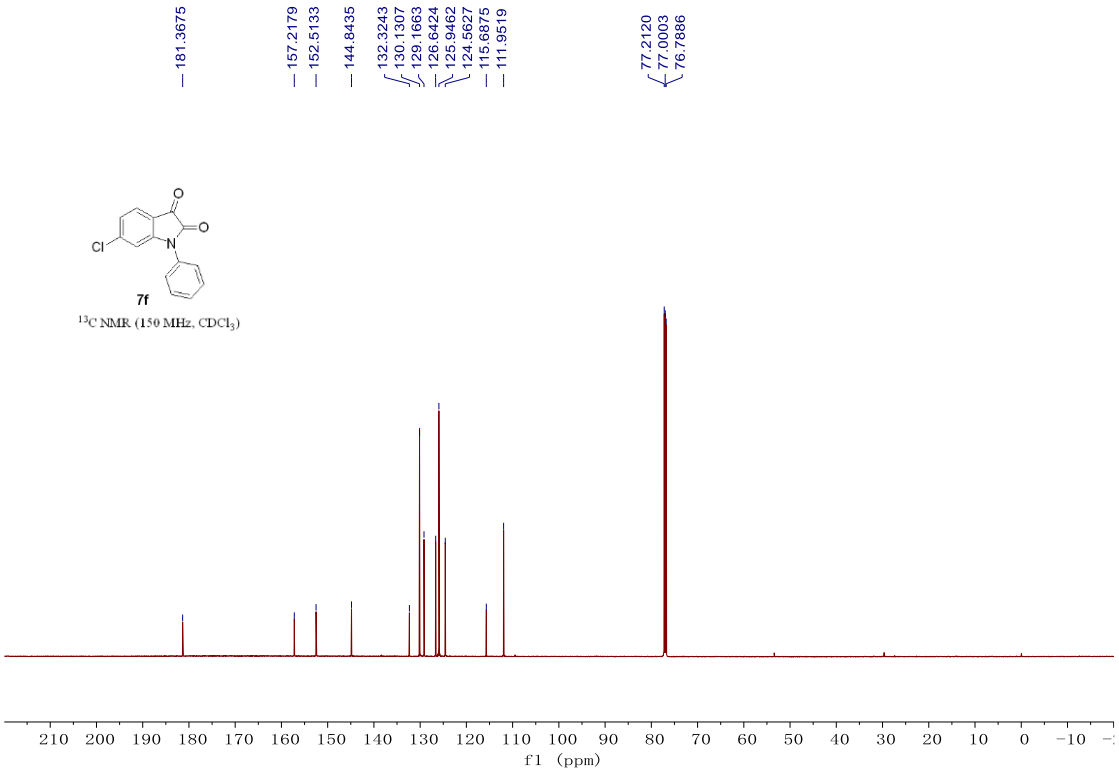


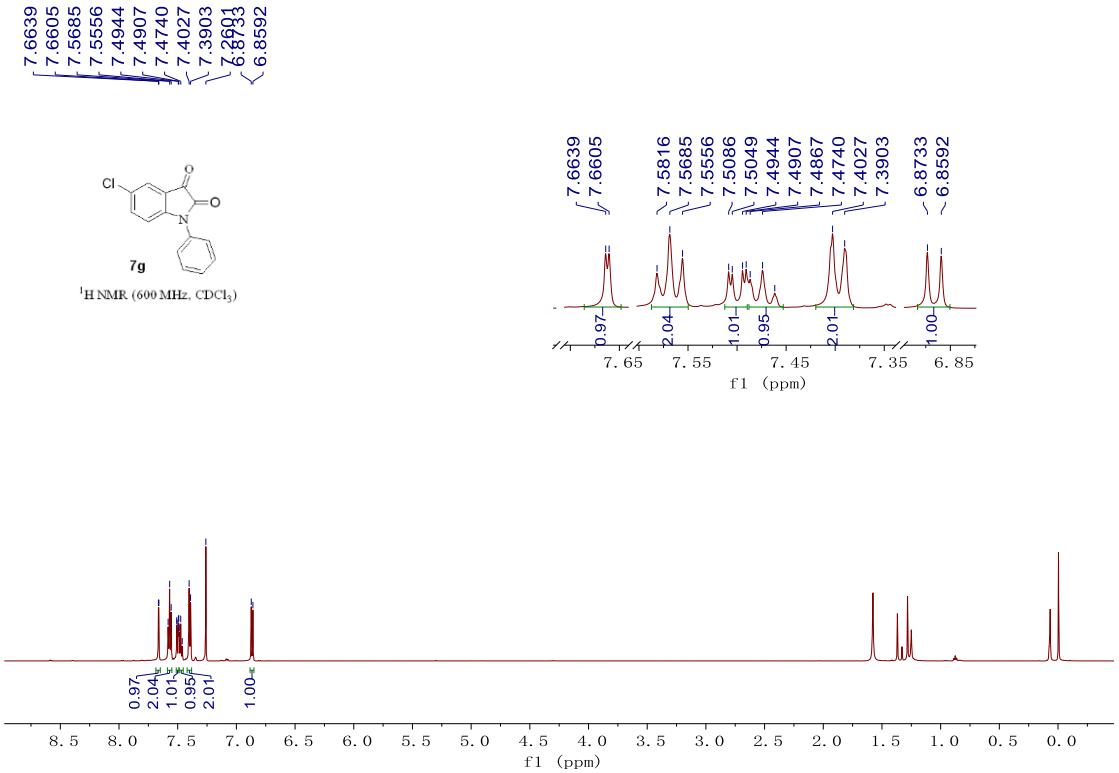
7f

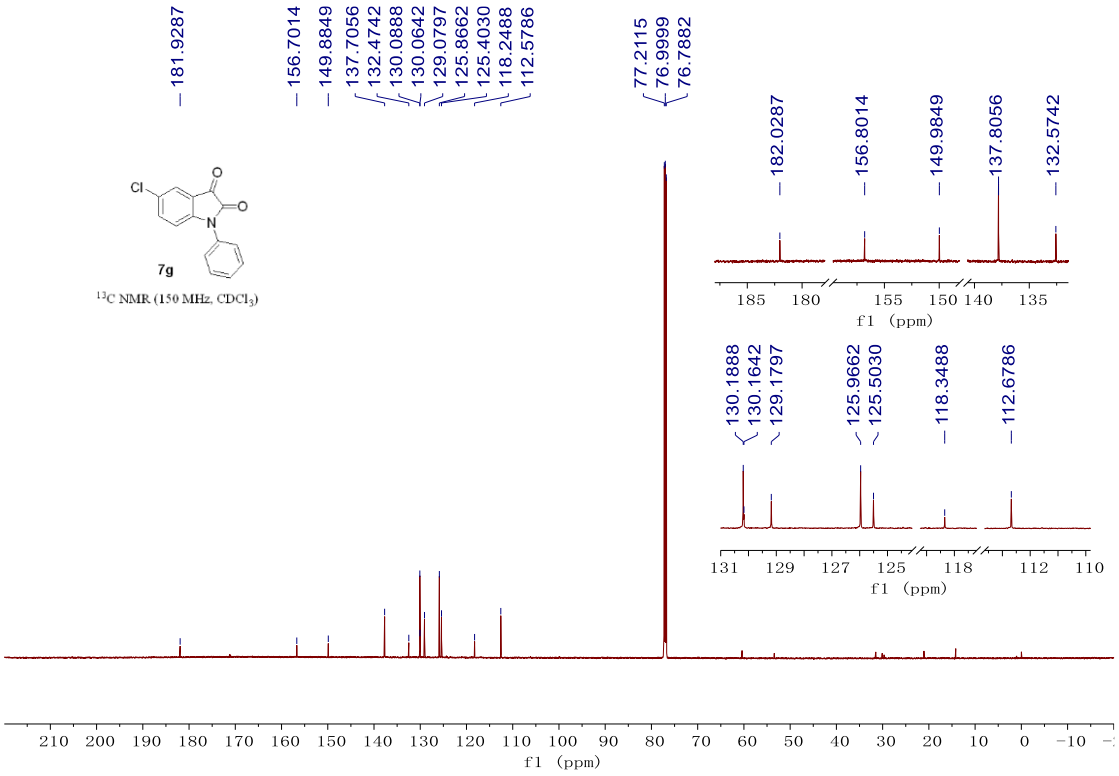
^{1}H NMR (600 MHz, $CDCl_3$)

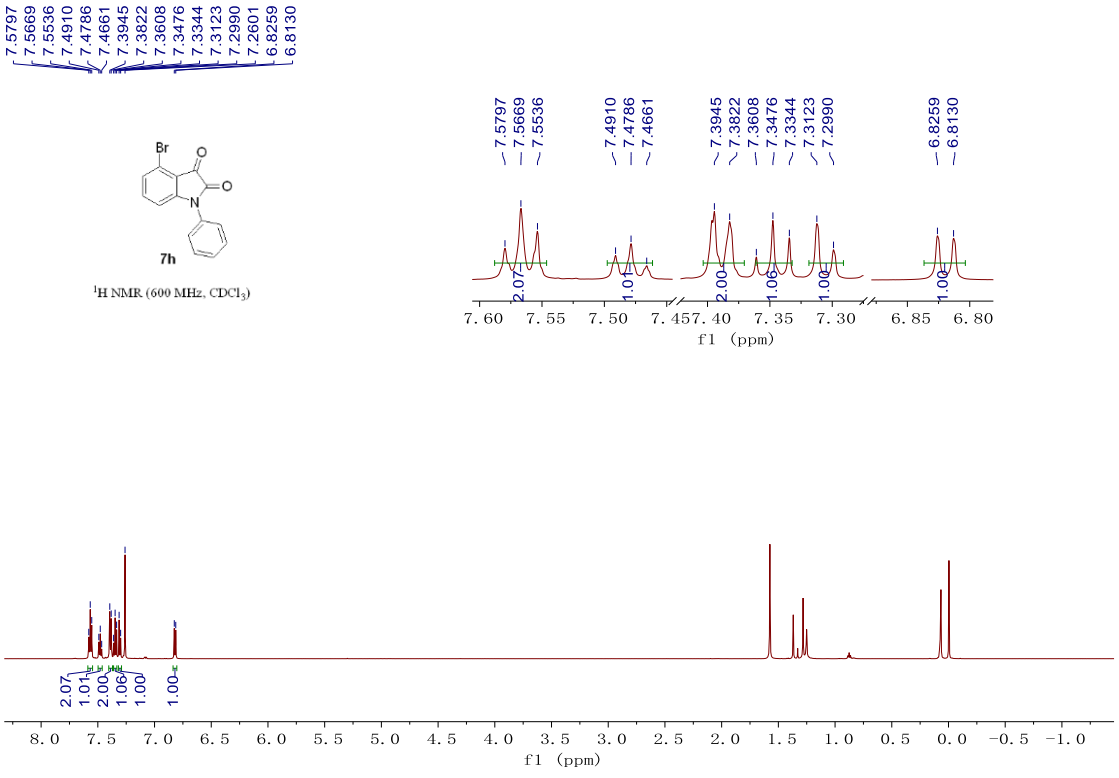


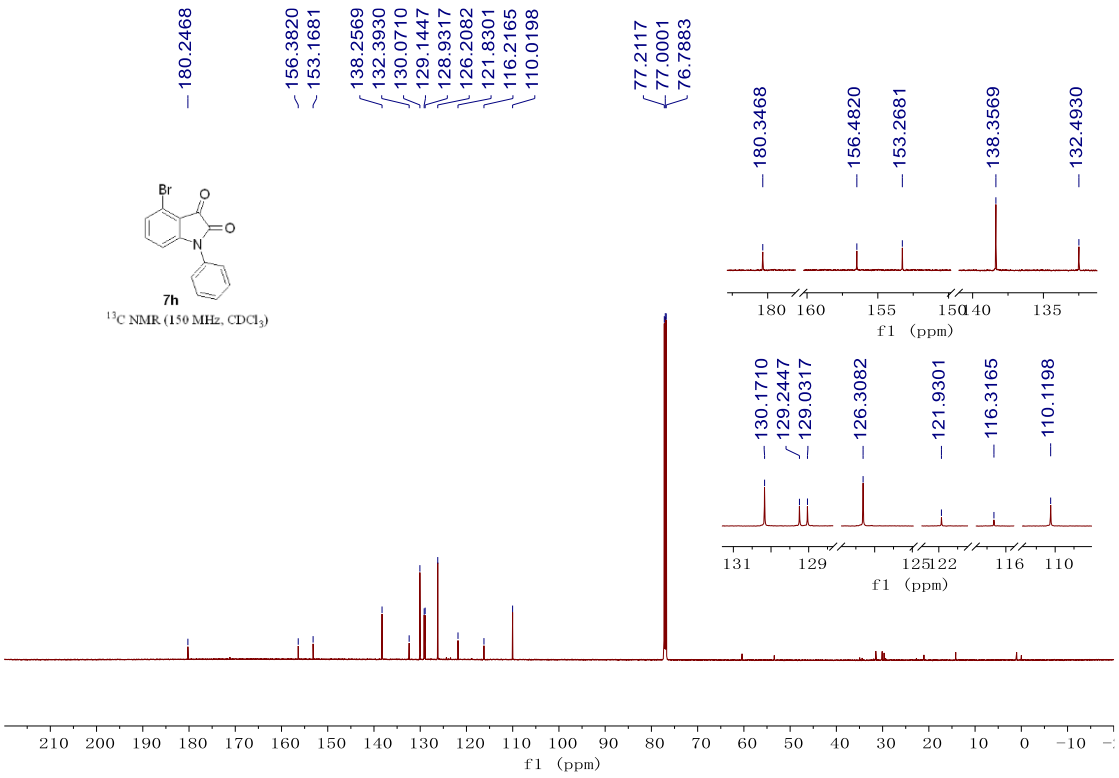


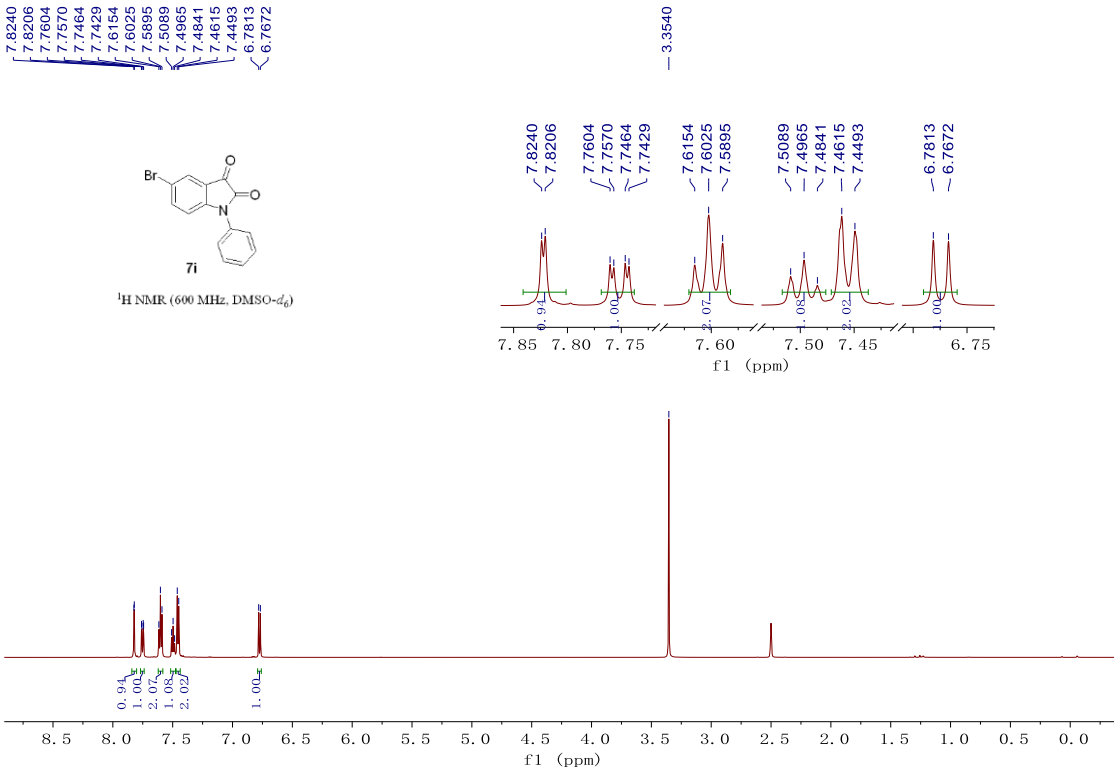

181.3675
157.2179
152.5133
144.8435
132.3243
130.1307
129.1663
126.6424
125.9462
124.5627
115.6875
111.9519
77.2120
77.0003
76.7886
Cl
O
O
N
7f
13C NMR (150 MHz, CDCl3)
f1 (ppm)

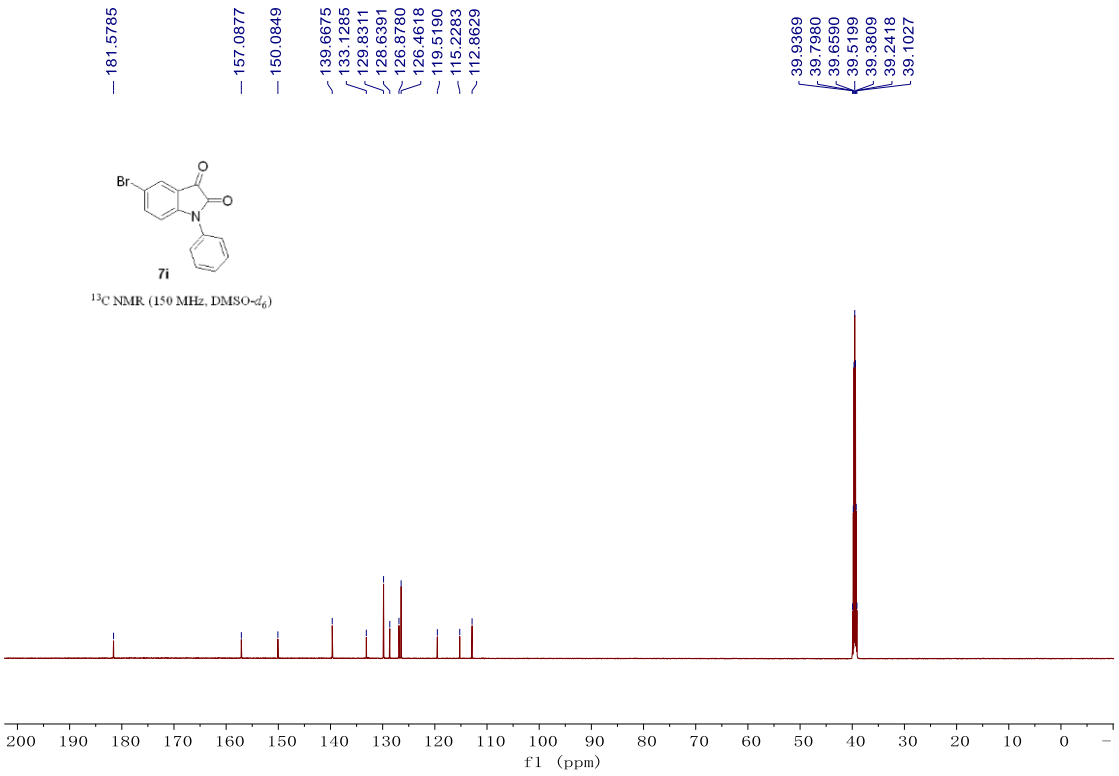

7.6639
7.6605
7.5685
7.5556
7.4944
7.4907
7.4740
7.4027
7.3903
6.8733
6.8592
Cl
O
O
N
7g
1H NMR (600 MHz, CDCl3)
0.97
2.04
1.01
0.95
2.01
1.00
f1 (ppm)

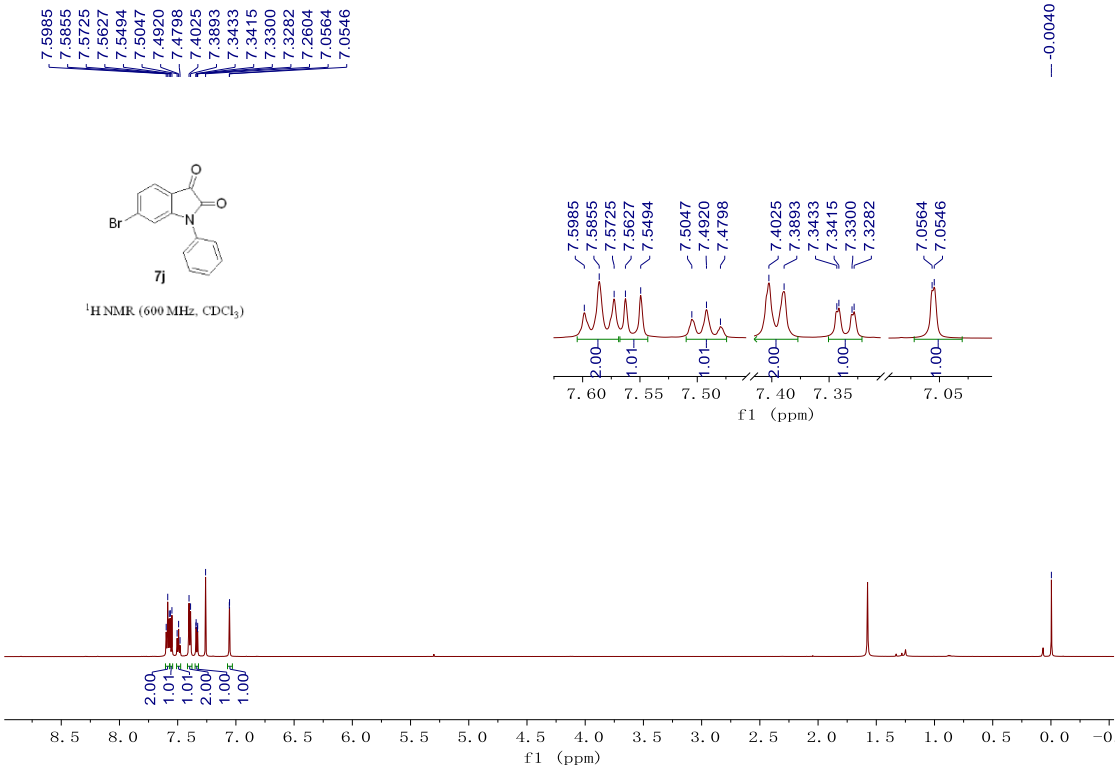

181.9287
156.7014
149.8849
137.7056
132.4742
130.0888
130.0642
129.0797
125.8662
125.4030
118.2488
112.5786
77.2115
76.9999
76.7882
Cl
O
O
N
7g
^{13}C NMR (150 MHz, CDCl$_3$)
182.0287
156.8014
149.9849
137.8056
132.5742
185 180 155 150 140 135
f1 (ppm)
130.1888
130.1642
129.1797
125.9662
125.5030
118.3488
112.6786
131 129 127 125 118 112 110
f1 (ppm)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)

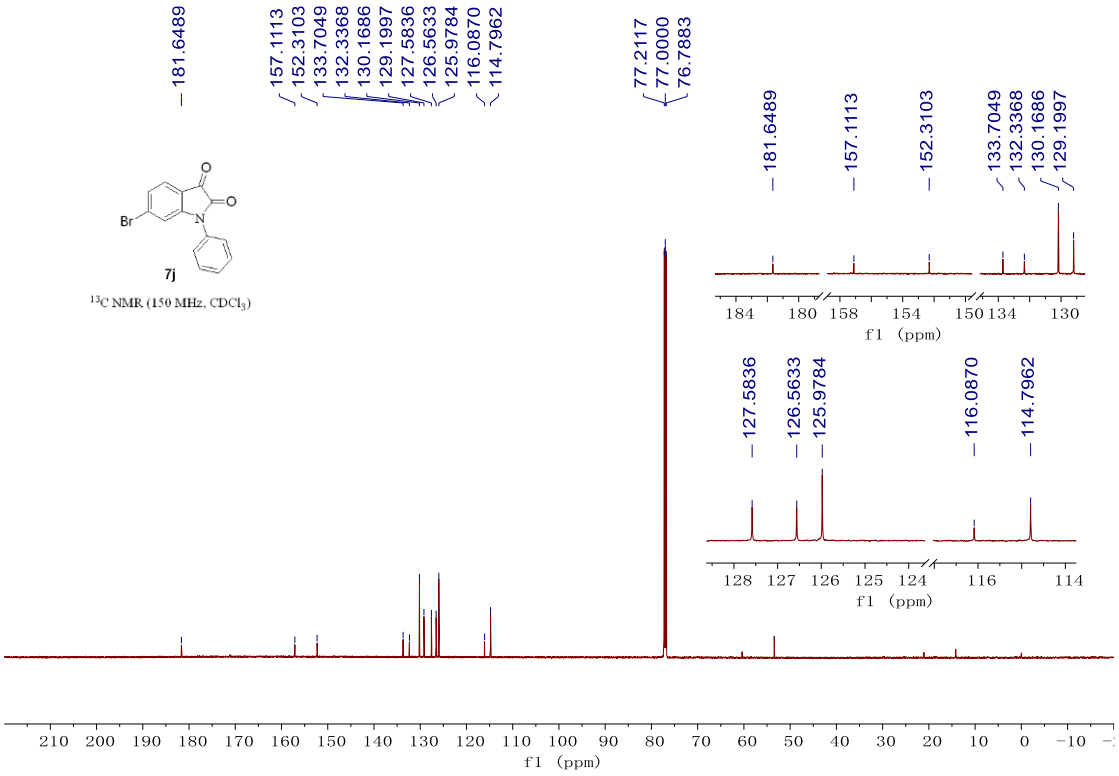

7.5797
7.5669
7.5536
7.4910
7.4786
7.4661
7.3945
7.3822
7.3608
7.3476
7.3344
7.3123
7.2990
7.2601
6.8259
6.8130
Br
O
O
N
7h
^{1}H NMR (600 MHz, CDCl$_3$)
2.07
1.01
2.00
1.06
1.00
1.00
7.60 7.55 7.50 7.45 7.40 7.35 7.30 6.85 6.80
f1 (ppm)
8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 −0.5 −1.0
f1 (ppm)


180.2468
156.3820
153.1681
138.2569
132.3930
130.0710
129.1447
128.9317
126.2082
121.8301
116.2165
110.0198
77.2117
77.0001
76.7883
Br
O
O
N
7h
13C NMR (150 MHz, CDCl3)
180.3468
156.4820
153.2681
138.3569
132.4930
130.1710
129.2447
129.0317
126.3082
121.9301
116.3165
110.1198
f1 (ppm)

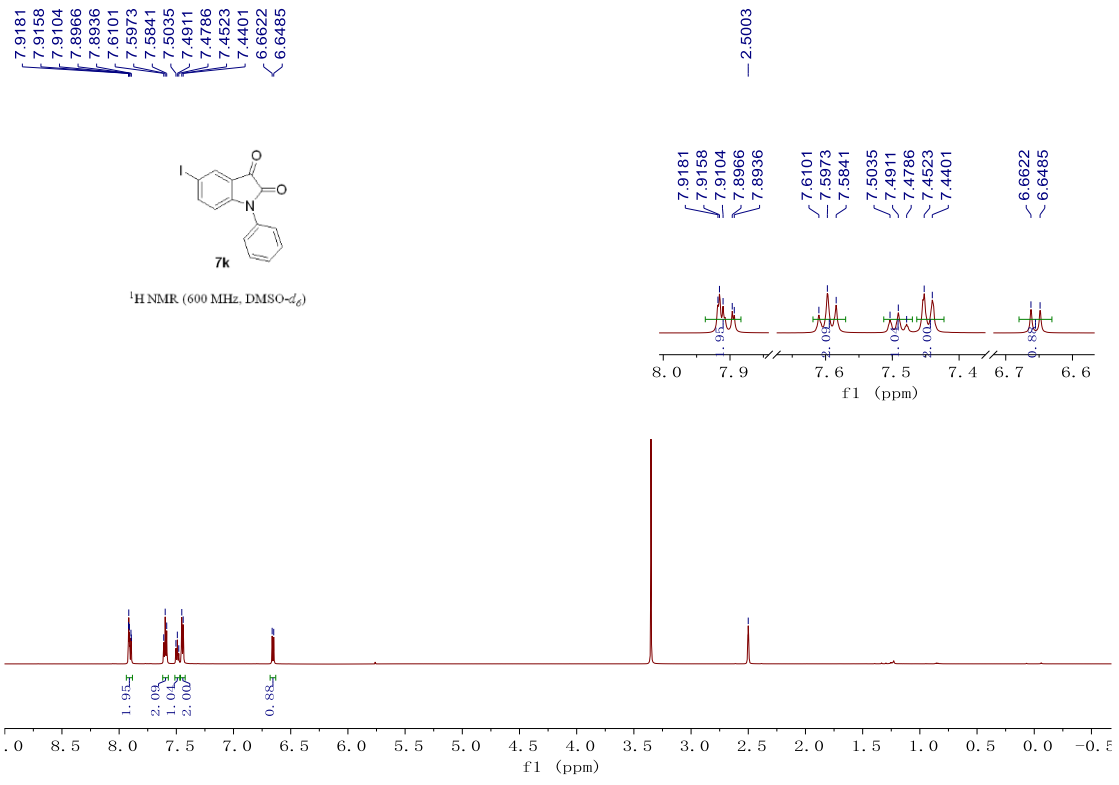

7.8240
7.8206
7.7604
7.7570
7.7464
7.7429
7.6154
7.6025
7.5895
7.5089
7.4965
7.4841
7.4615
7.4493
6.7813
6.7672
3.3540
Br
O
O
N
7i
1H NMR (600 MHz, DMSO-d6)
0.94
1.00
2.07
1.08
2.02
1.00
f1 (ppm)


181.5785
157.0877
150.0849
139.6675
133.1285
129.8311
128.6391
126.8780
126.4618
119.5190
115.2283
112.8629
39.9369
39.7980
39.6590
39.5199
39.3809
39.2418
39.1027
Br
O
O
N
7i
^{13}C NMR (150 MHz, DMSO-d_6)
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)

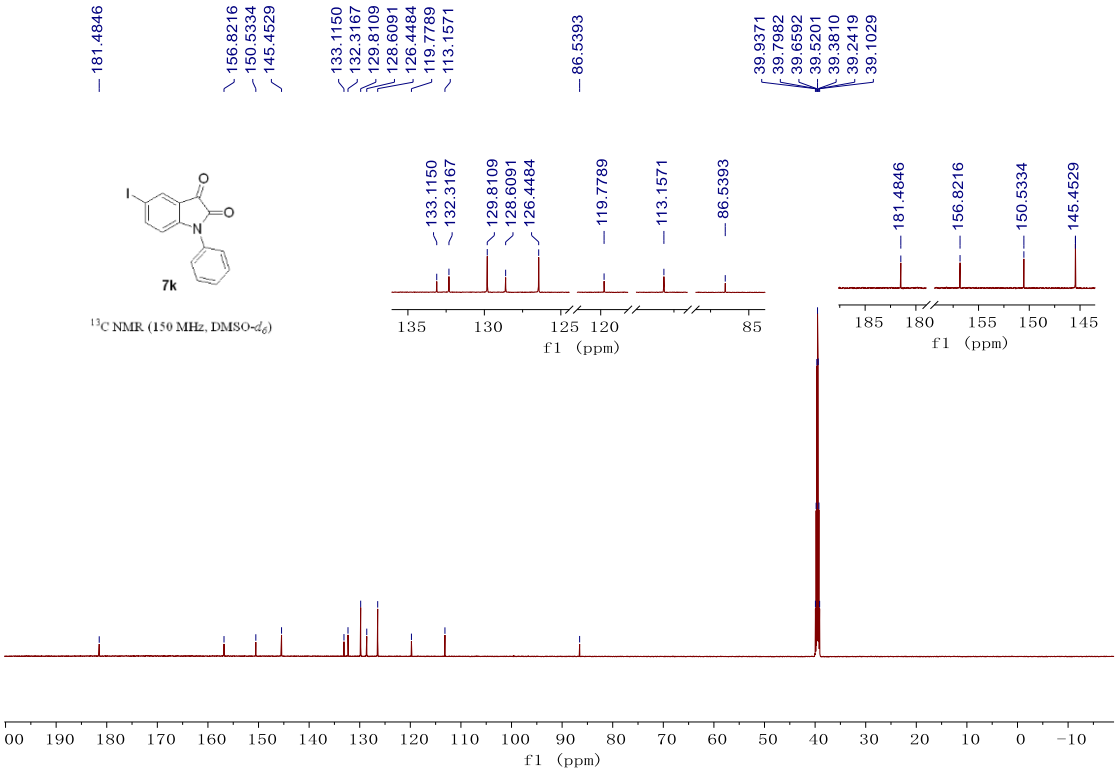

7.5985
7.5855
7.5725
7.5627
7.5494
7.5047
7.4920
7.4798
7.4025
7.3893
7.3433
7.3415
7.3300
7.3282
7.2604
7.0564
7.0546
-0.0040
Br
O
O
N
7j
^{1}H NMR (600 MHz, CDCl$_3$)
2.00
1.01
1.01
2.00
1.00
1.00
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0
f1 (ppm)
7.60 7.55 7.50 7.40 7.35 7.05
f1 (ppm)

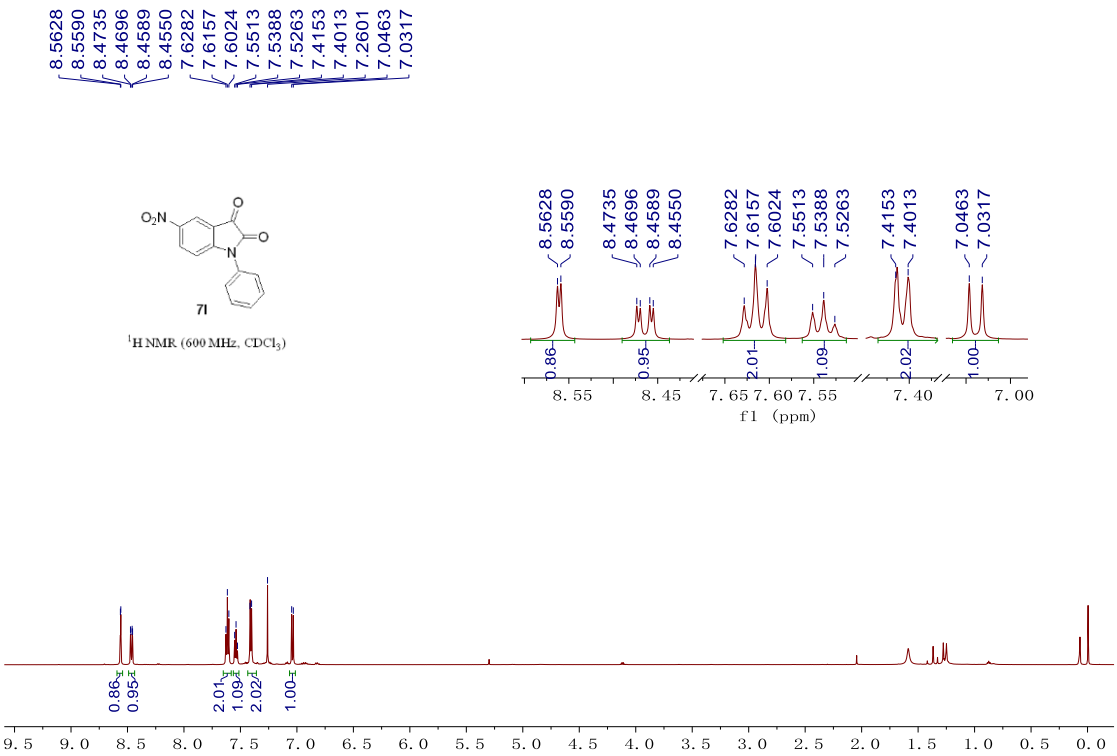


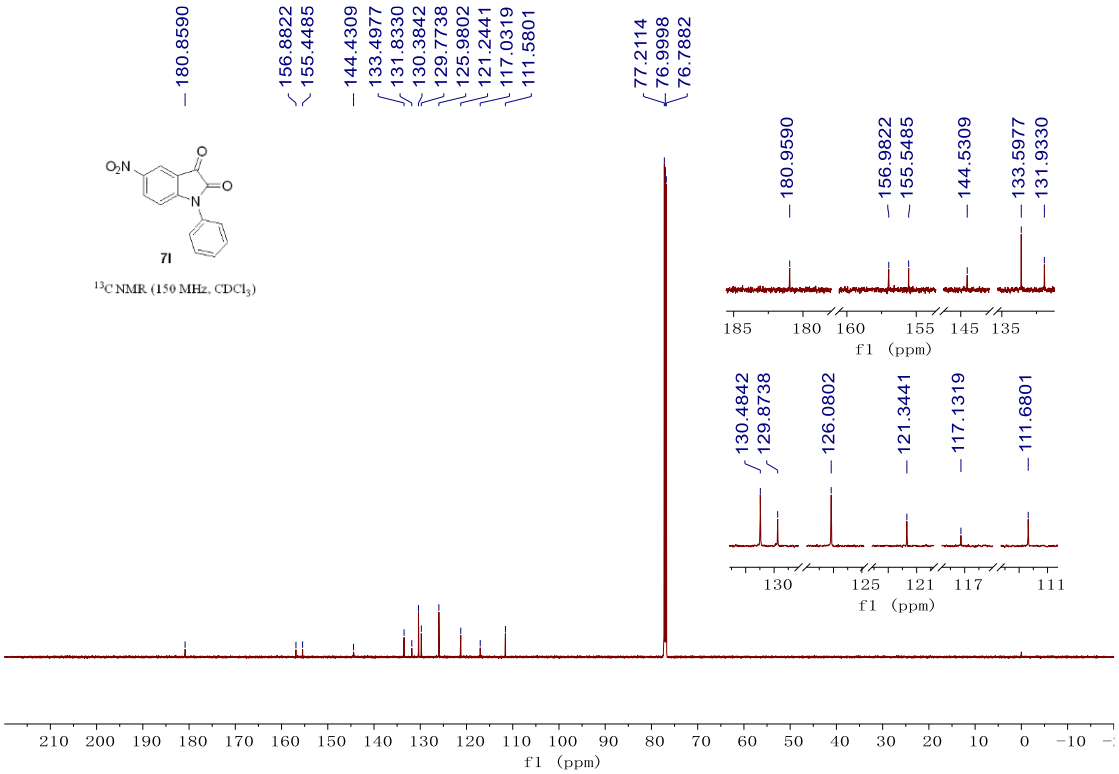
^{13}C NMR (150 MHz, $CDCl_3$)

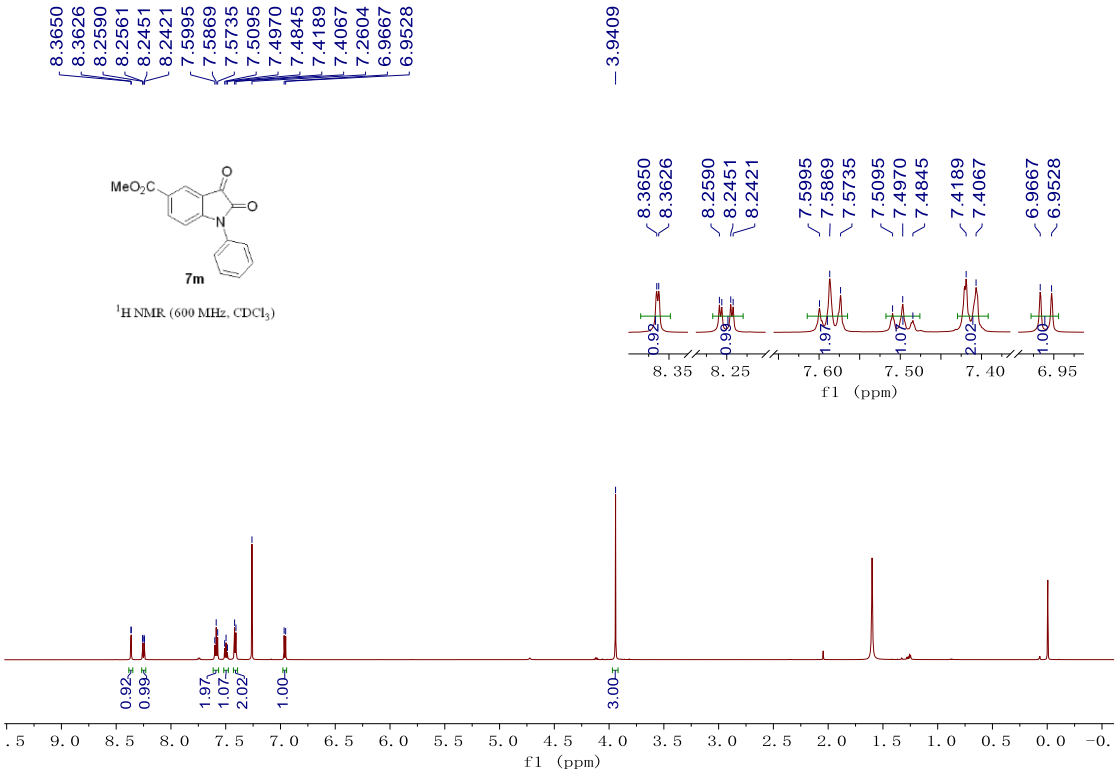


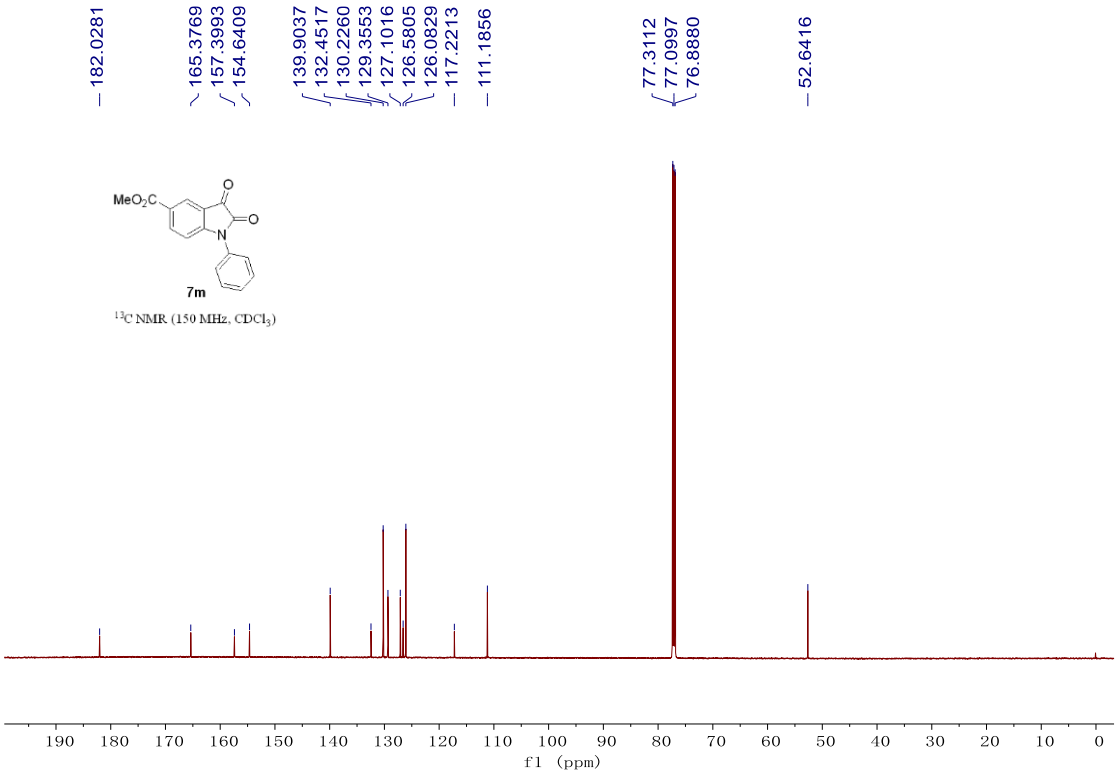
^{1}H NMR (600 MHz, DMSO-d_6)

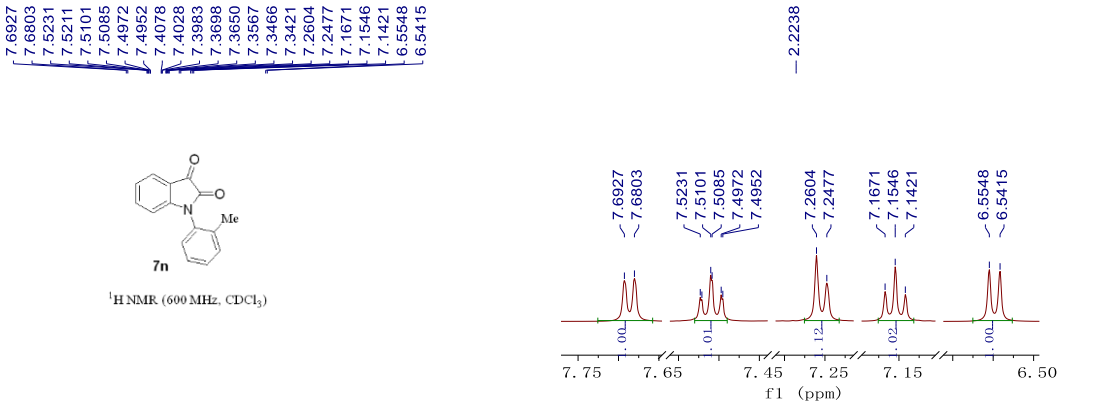

181.4846
156.8216
150.5334
145.4529
133.1150
132.3167
129.8109
128.6091
126.4484
119.7789
113.1571
86.5393
39.9371
39.7982
39.6592
39.5201
39.3810
39.2419
39.1029
7k
13C NMR (150 MHz, DMSO-d6)
f1 (ppm)

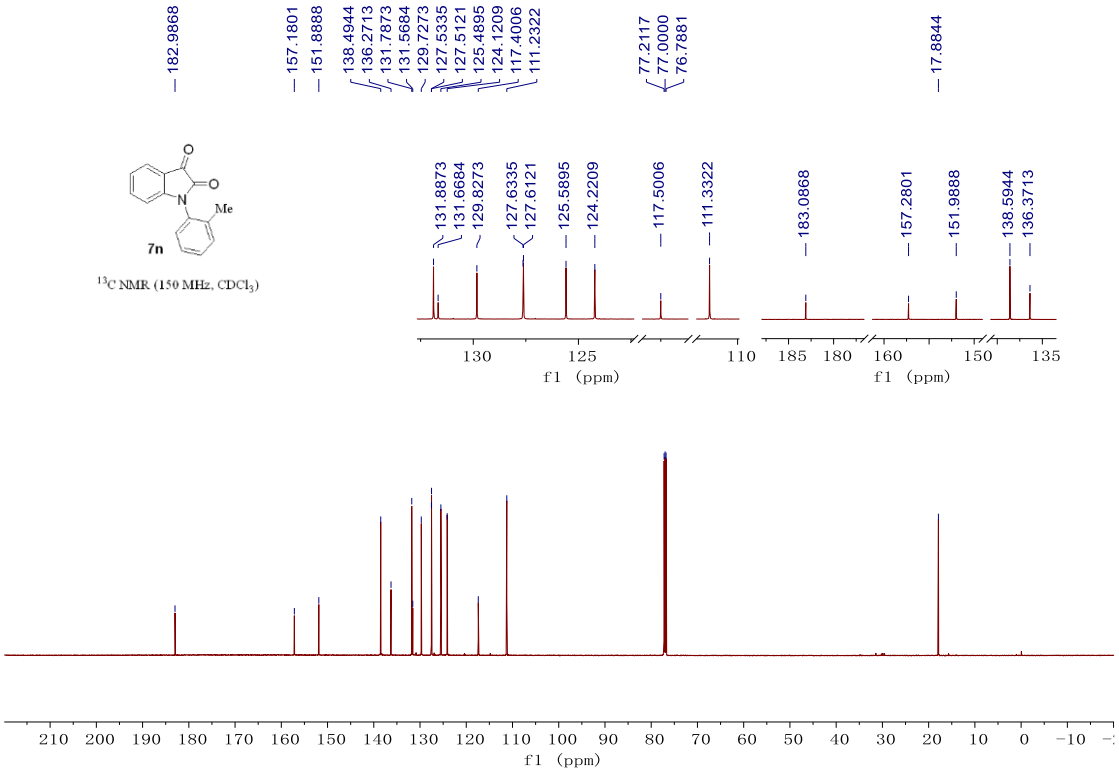

8.5628
8.5590
8.4735
8.4696
8.4589
8.4550
7.6282
7.6157
7.6024
7.5513
7.5388
7.5263
7.4153
7.4013
7.2601
7.0463
7.0317
7l
1H NMR (600 MHz, CDCl3)
0.86
0.95
2.01
1.09
2.02
1.00
f1 (ppm)


180.8590
156.8822
155.4485
144.4309
133.4977
131.8330
130.3842
129.7738
125.9802
121.2441
117.0319
111.5801
77.2114
76.9998
76.7882
7l
13C NMR (150 MHz, CDCl3)
180.9590
156.9822
155.5485
144.5309
133.5977
131.9330
130.4842
129.8738
126.0802
121.3441
117.1319
111.6801
f1 (ppm)


8.3650
8.3626
8.2590
8.2561
8.2451
8.2421
7.5995
7.5869
7.5735
7.5095
7.4970
7.4845
7.4189
7.4067
7.2604
6.9667
6.9528
3.9409
7m
1H NMR (600 MHz, CDCl3)
0.92
0.99
1.97
1.07
2.02
1.00
3.00
f1 (ppm)


182.0281
165.3769
157.3993
154.6409
139.9037
132.4517
130.2260
129.3553
127.1016
126.5805
126.0829
117.2213
111.1856
77.3112
77.0997
76.8880
52.6416
MeO2C
O
O
N
7m
13C NMR (150 MHz, CDCl3)
190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)


7.6927
7.6803
7.5231
7.5211
7.5101
7.5085
7.4972
7.4952
7.4078
7.4028
7.3983
7.3698
7.3650
7.3567
7.3466
7.3421
7.2604
7.2477
7.1671
7.1546
7.1421
6.5548
6.5415
2.2238
O
O
N
Me
7n
1H NMR (600 MHz, CDCl3)
7.75 7.65 7.45 7.25 7.15 6.50
f1 (ppm)
1.00
1.01
1.99
1.04
1.12
1.02
1.00
3.07
8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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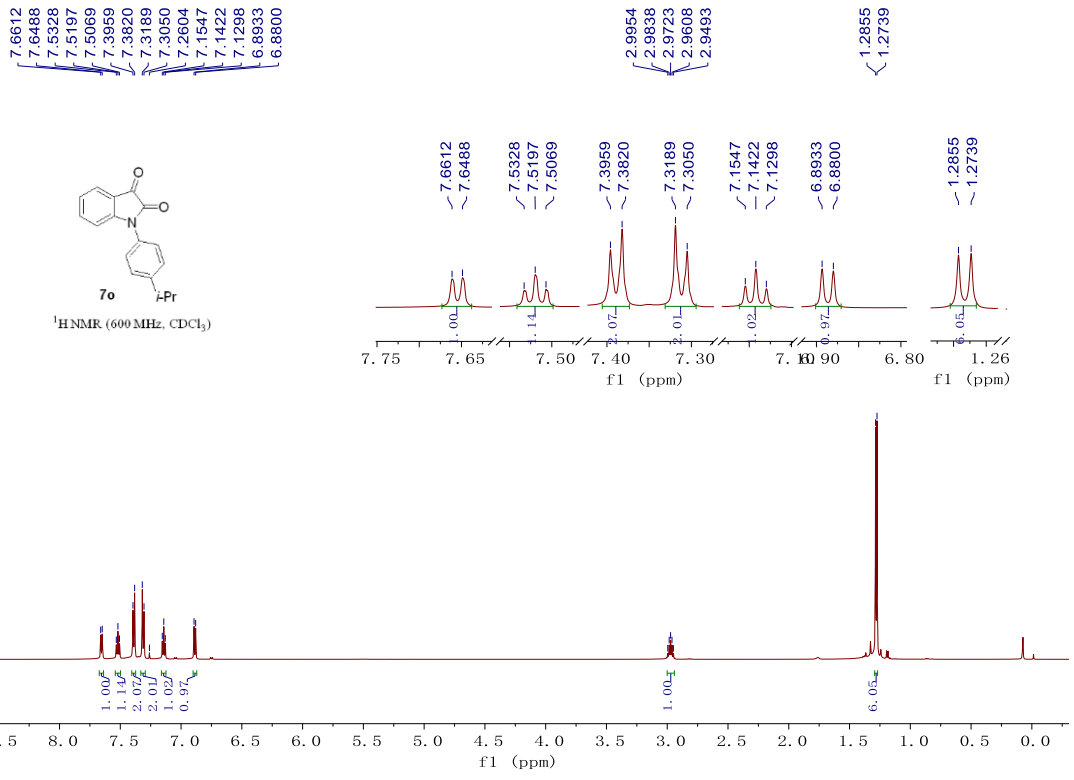
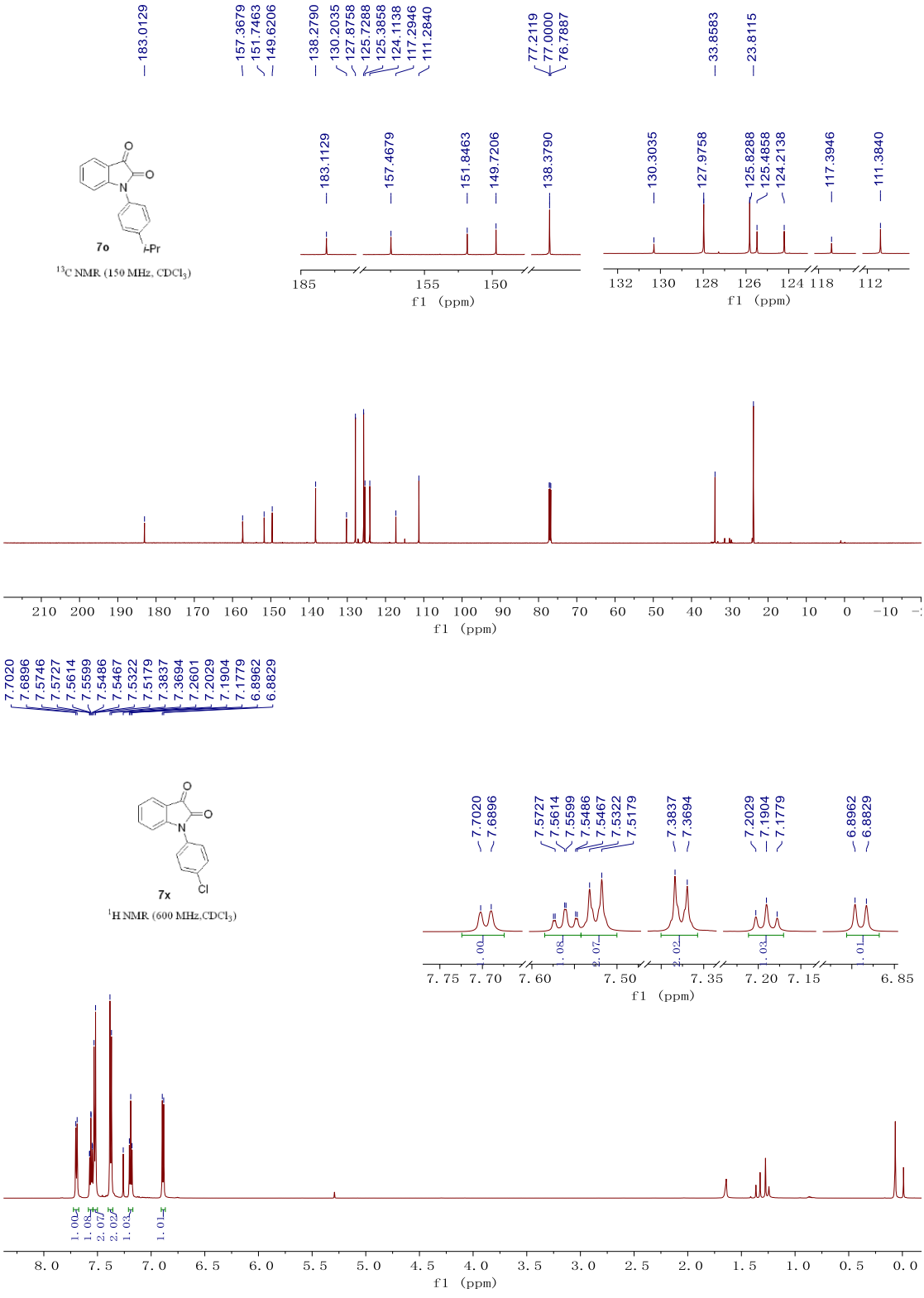
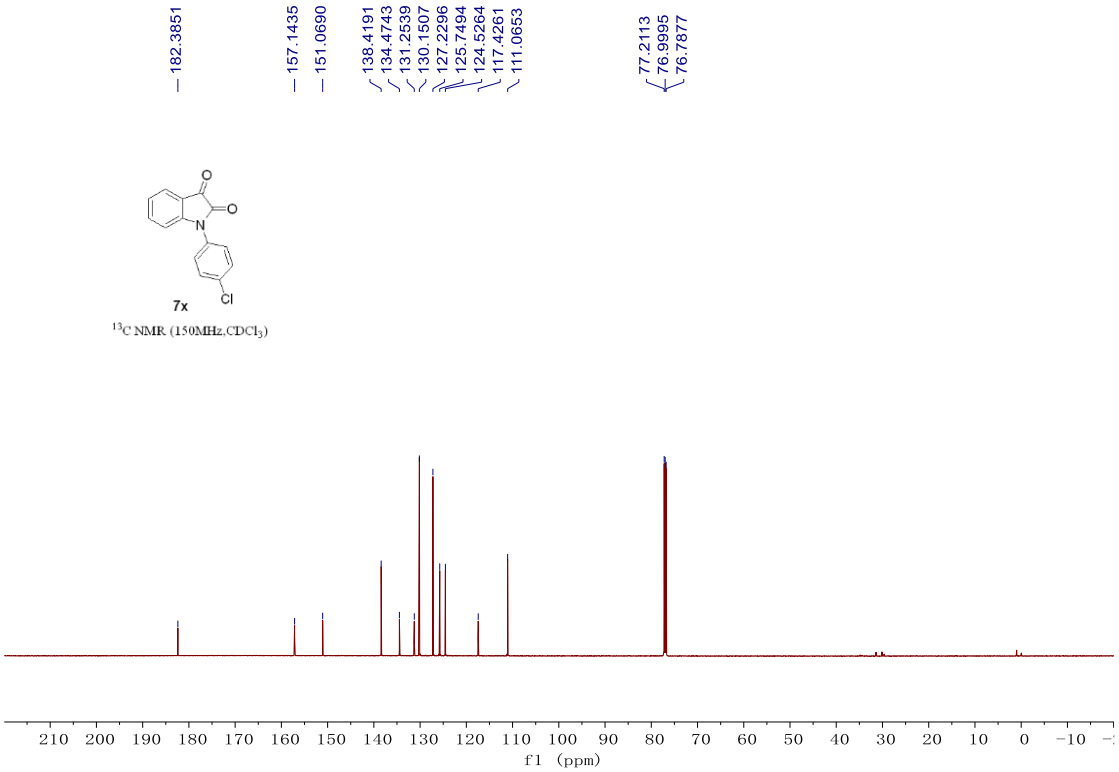
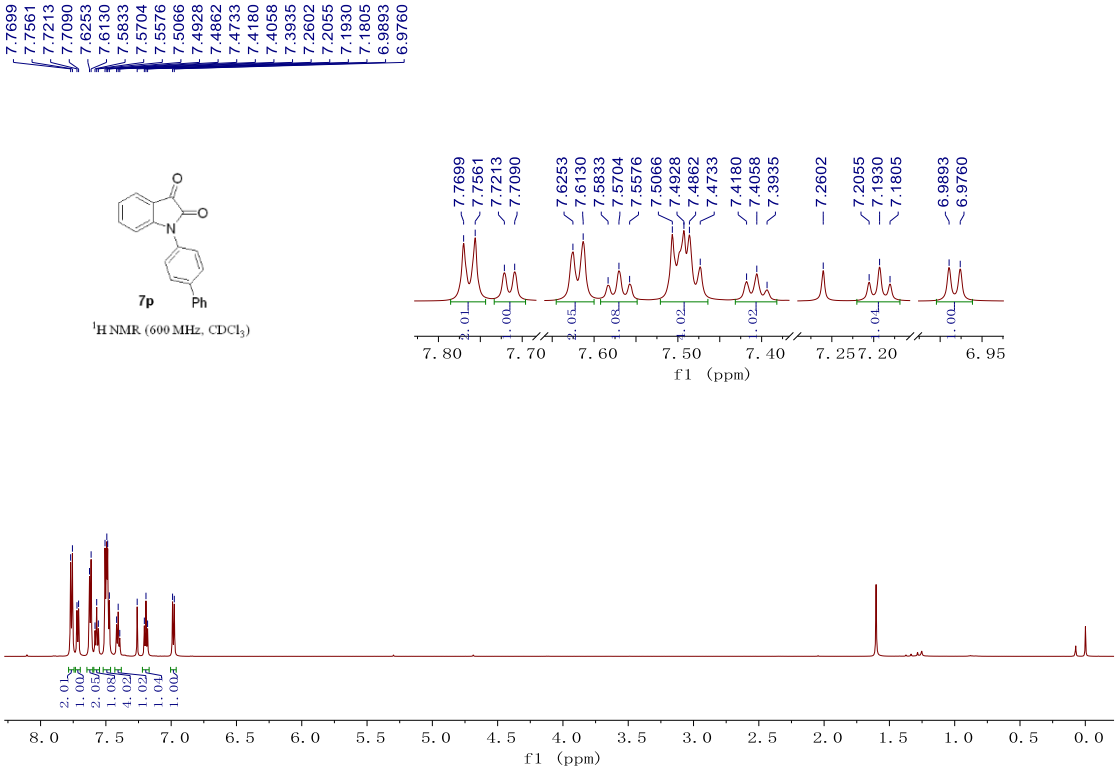
157.1801
151.8888
138.4944
136.2713
131.7873
131.5684
129.7273
127.5335
127.5121
125.4895
124.1209
117.4006
111.2322
77.2117
77.0000
76.7881
17.8844
131.8873
131.6684
129.8273
127.6335
127.6121
125.5895
124.2209
117.5006
111.3322
183.0868
157.2801
151.9888
138.5944
136.3713
Me
7n
13C NMR (150 MHz, CDCl3)
f1 (ppm)

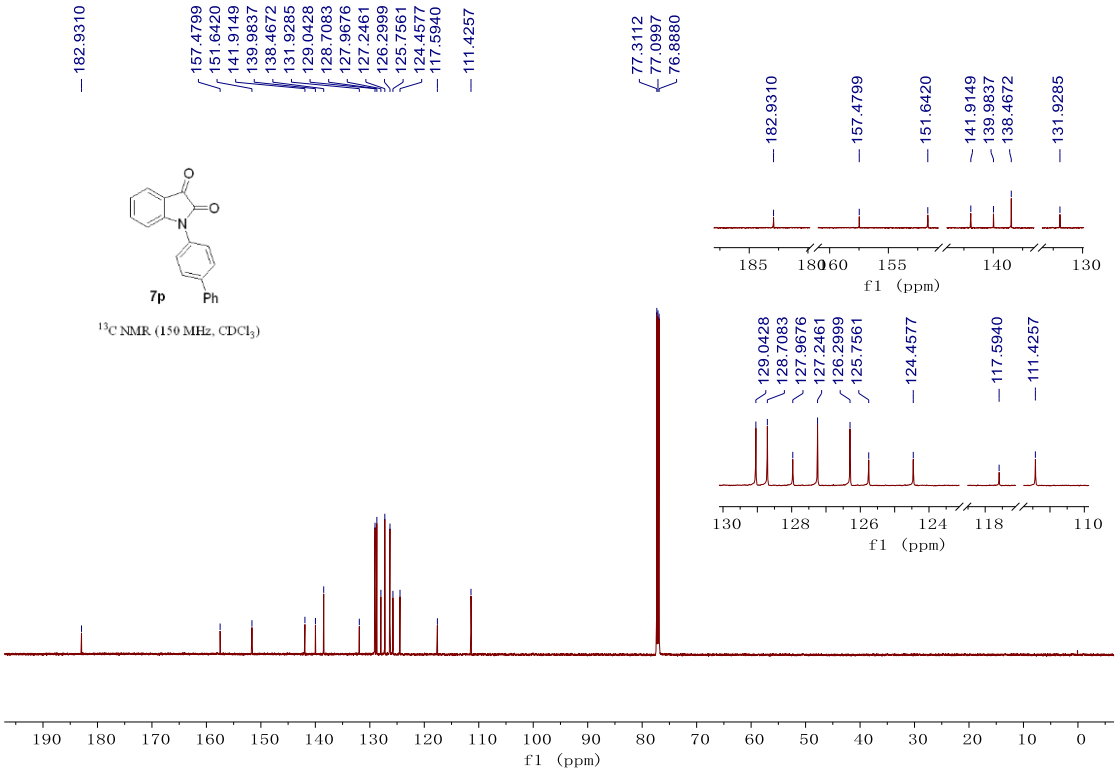
f1 (ppm)


7.6612
7.6488
7.5328
7.5197
7.5069
7.3959
7.3820
7.3189
7.3050
7.2604
7.1547
7.1422
7.1298
6.8933
6.8800
2.9954
2.9838
2.9723
2.9608
2.9493
1.2855
1.2739
i-Pr
7o
1H NMR (600 MHz, CDCl3)
f1 (ppm)


183.0129
157.3679
151.7463
149.6206
138.2790
130.2035
127.8758
125.7288
125.3858
124.1138
117.2946
111.2840
77.2119
77.0000
76.7887
33.8583
23.8115
7o
i-Pr
13C NMR (150 MHz, CDCl3)
183.1129
157.4679
151.8463
149.7206
138.3790
130.3035
127.9758
125.8288
125.4858
124.2138
117.3946
111.3840
f1 (ppm)
7.7020
7.6896
7.5746
7.5727
7.5614
7.5599
7.5486
7.5467
7.5322
7.5179
7.3837
7.3694
7.2601
7.2029
7.1904
7.1779
6.8962
6.8829
7x
1H NMR (600 MHz,CDCl3)
1.00
1.08
2.07
2.02
1.03
1.01
f1 (ppm)


182.3851
157.1435
151.0690
138.4191
134.4743
131.2539
130.1507
127.2296
125.7494
124.5264
117.4261
111.0653
77.2113
76.9995
76.7877
O
O
N
Cl
7x
^{13}C NMR (150MHz,CDCl$_3$)
210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)


7.7699
7.7561
7.7213
7.7090
7.6253
7.6130
7.5833
7.5704
7.5576
7.5066
7.4928
7.4862
7.4733
7.4180
7.4058
7.3935
7.2602
7.2055
7.1930
7.1805
6.9893
6.9760
O
O
N
Ph
7p
^{1}H NMR (600 MHz, CDCl$_3$)
2.01
1.00
2.05
1.08
4.02
1.02
1.04
1.00
7.80 7.70 7.60 7.50 7.40 7.25 7.20 6.95
f1 (ppm)
2.01
1.00
2.05
1.08
4.02
1.02
1.04
1.00
8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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157.4799
151.6420
141.9149
139.9837
138.4672
131.9285
129.0428
128.7083
127.9676
127.2461
126.2999
125.7561
124.4577
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77.3112
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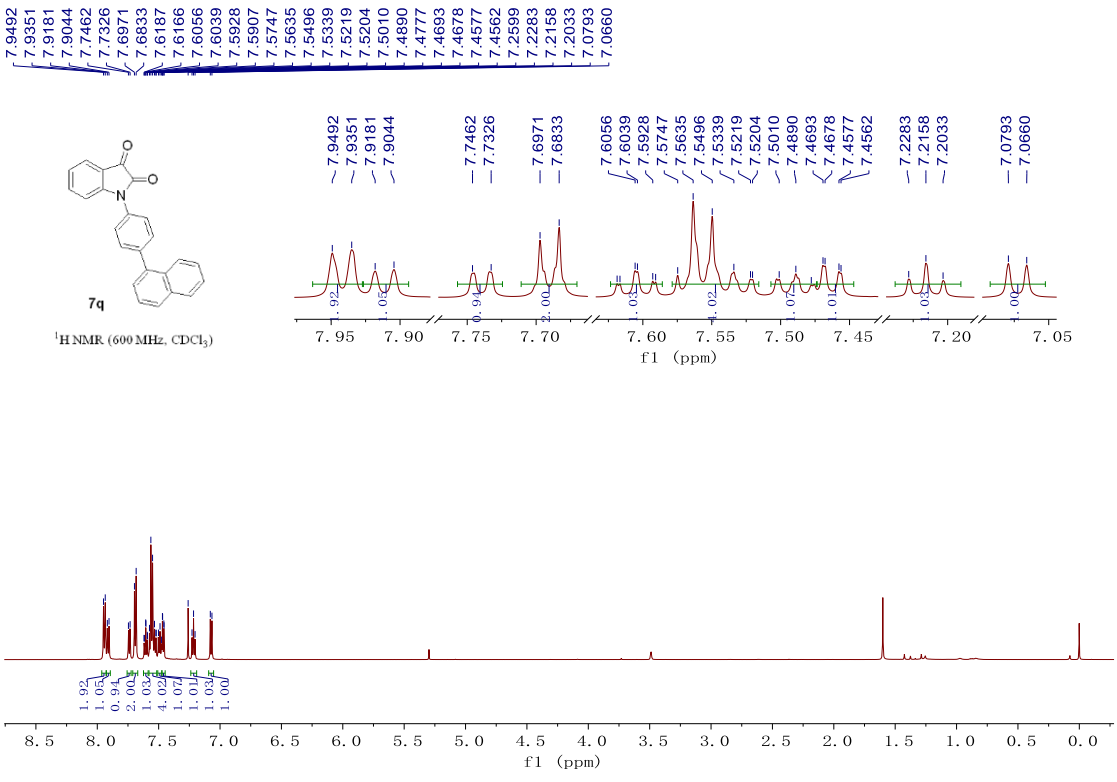
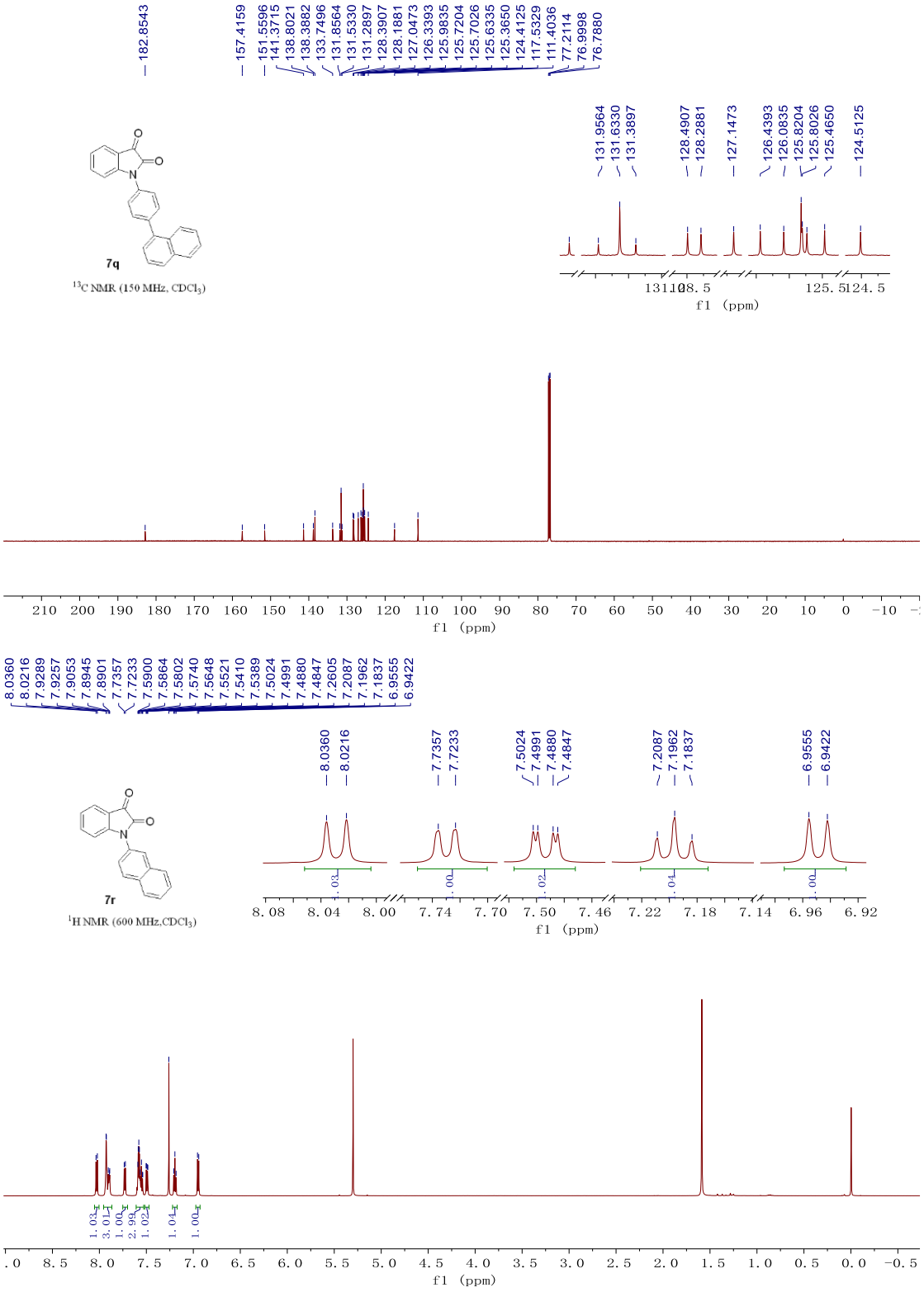
76.8880
7p
Ph
13C NMR (150 MHz, CDCl3)
f1 (ppm)


7.9492
7.9351
7.9181
7.9044
7.7462
7.7326
7.6971
7.6833
7.6187
7.6166
7.6056
7.6039
7.5928
7.5907
7.5747
7.5635
7.5496
7.5339
7.5219
7.5204
7.5010
7.4890
7.4777
7.4693
7.4678
7.4577
7.4562
7.2599
7.2283
7.2158
7.2033
7.0793
7.0660
7q
1H NMR (600 MHz, CDCl3)
f1 (ppm)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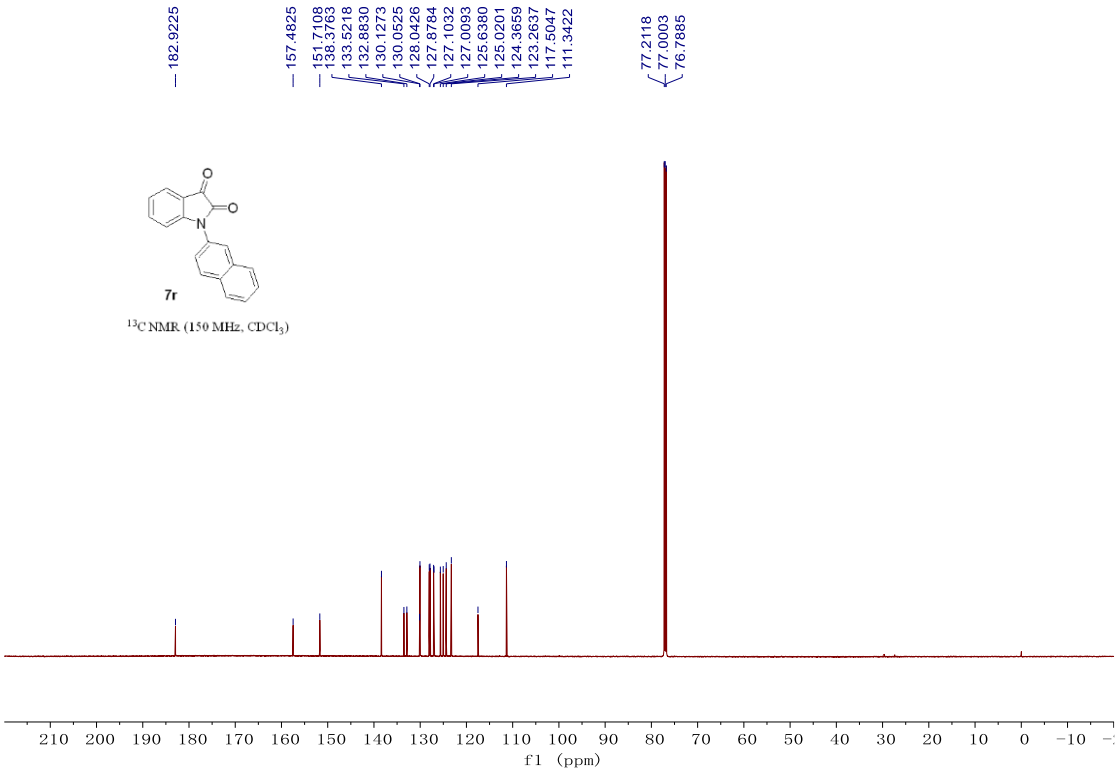
157.4159
151.5596
141.3715
138.8021
138.3882
133.7496
131.8564
131.5330
131.2897
128.3907
128.1881
127.0473
126.3393
125.9835
125.7204
125.7026
125.6335
125.3650
124.4125
117.5329
111.4036
77.2114
76.9998
76.7880
131.9564
131.6330
131.3897
128.4907
128.2881
127.1473
126.4393
126.0835
125.8204
125.8026
125.4650
124.5125
7q
13C NMR (150 MHz, CDCl3)
f1 (ppm)
8.0360
8.0216
7.9289
7.9257
7.9053
7.8945
7.8901
7.7357
7.7233
7.5900
7.5864
7.5802
7.5740
7.5648
7.5521
7.5410
7.5389
7.5024
7.4991
7.4880
7.4847
7.2605
7.2087
7.1962
7.1837
6.9555
6.9422
7r
1H NMR (600 MHz,CDCl3)
f1 (ppm)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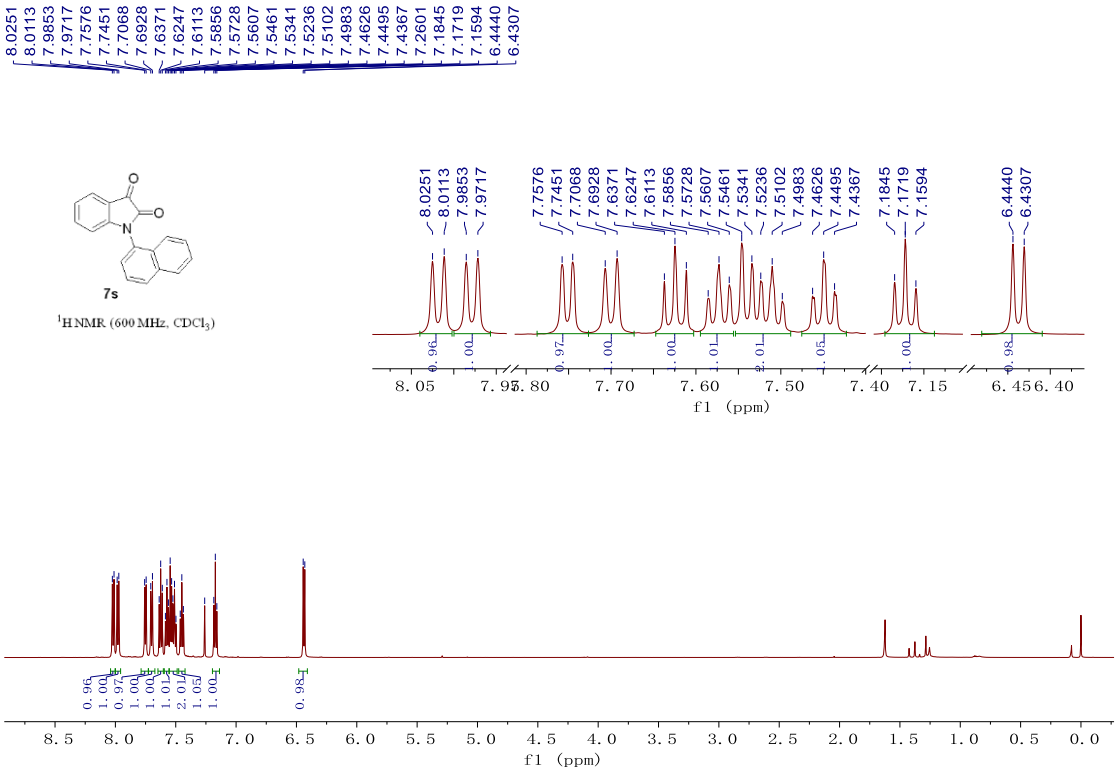
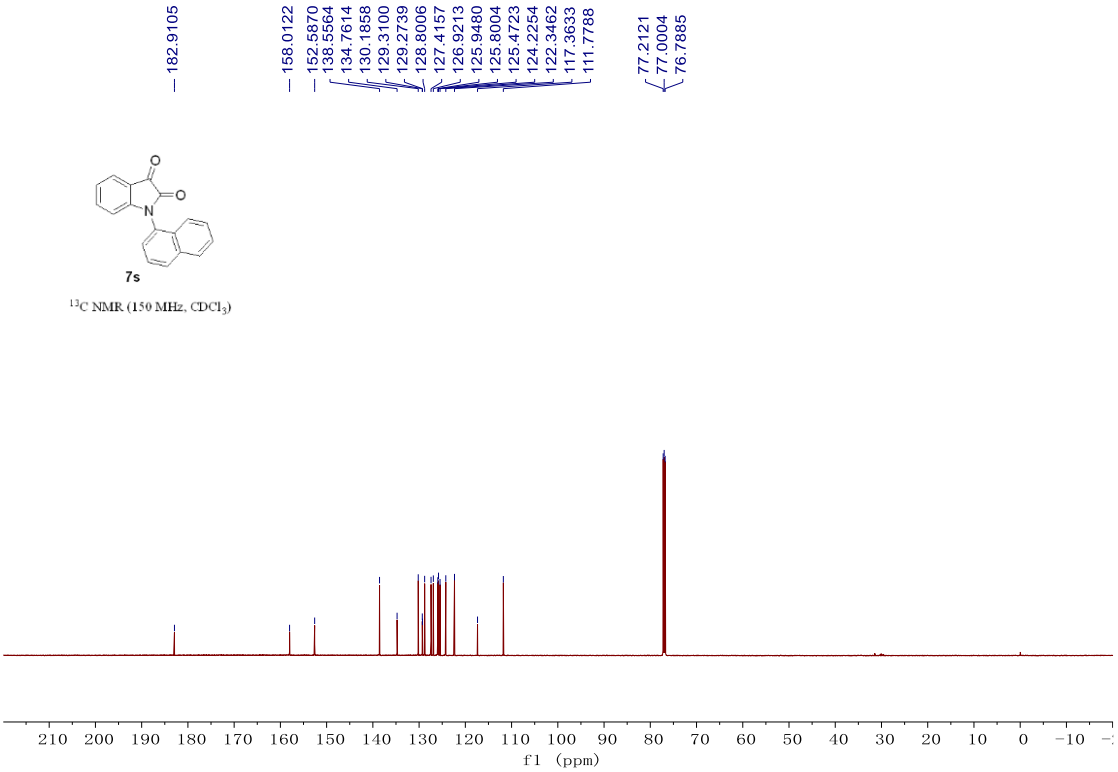
157.4825
151.7108
138.3763
133.5218
132.8830
130.1273
130.0525
128.0426
127.8784
127.1032
127.0093
125.6380
125.0201
124.3659
123.2637
117.5047
111.3422
77.2118
77.0003
76.7885
7r
13C NMR (150 MHz, CDCl3)
f1 (ppm)


8.0251
8.0113
7.9853
7.9717
7.7576
7.7451
7.7068
7.6928
7.6371
7.6247
7.6113
7.5856
7.5728
7.5607
7.5461
7.5341
7.5236
7.5102
7.4983
7.4626
7.4495
7.4367
7.2601
7.1845
7.1719
7.1594
6.4440
6.4307
7s
1H NMR (600 MHz, CDCl3)
0.96
1.00
0.97
1.00
1.00
1.01
2.01
1.05
1.00
0.98
f1 (ppm)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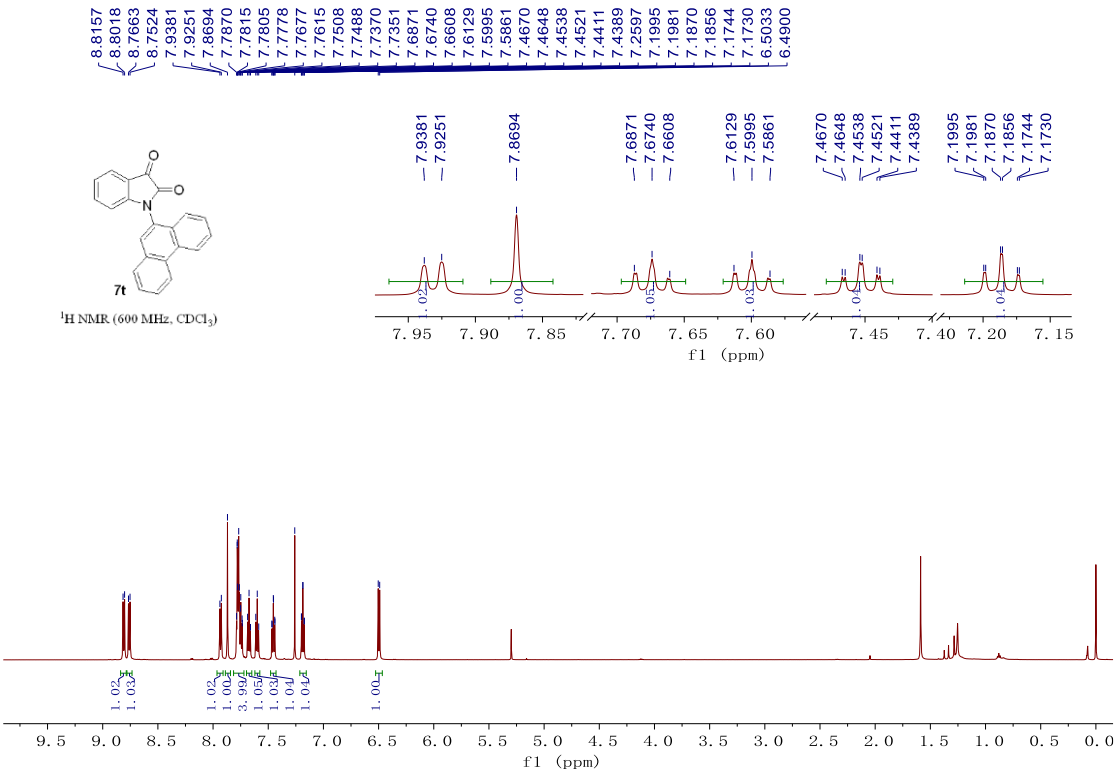
152.5870
138.5564
134.7614
130.1858
129.3100
129.2739
128.8006
127.4157
126.9213
125.9480
125.8004
125.4723
124.2254
122.3462
117.3633
111.7788
77.2121
77.0004
76.7885
7s
13C NMR (150 MHz, CDCl3)
f1 (ppm)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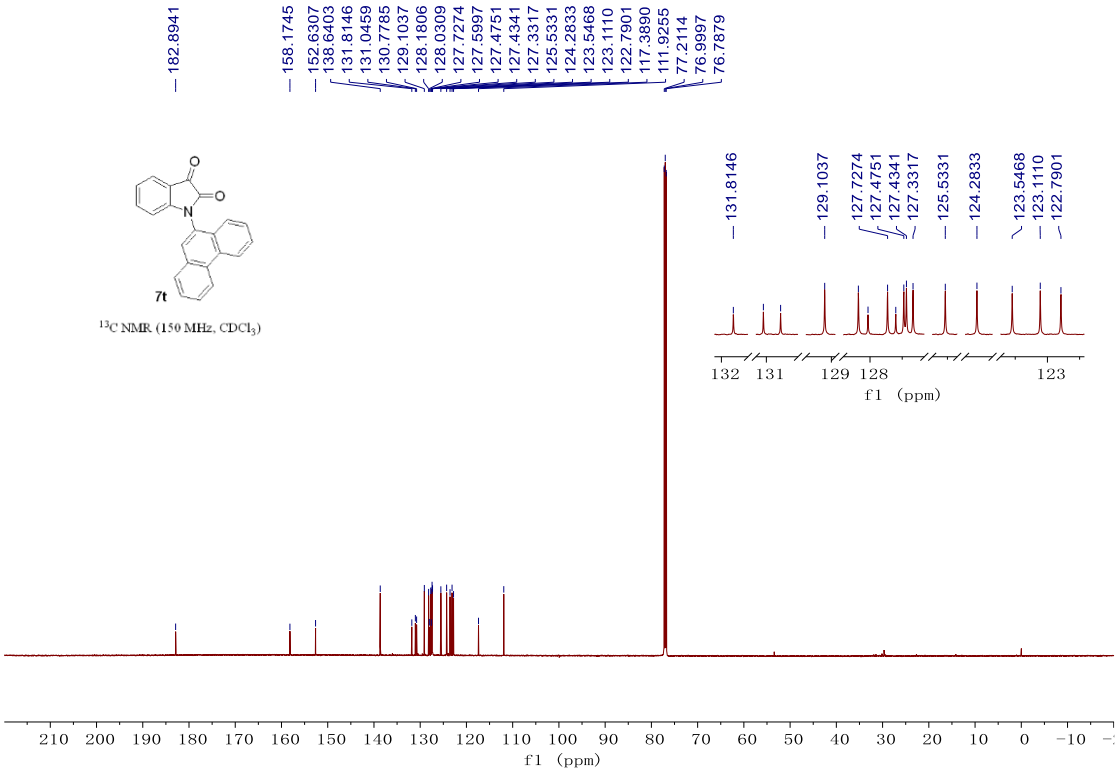
8.8018
8.7663
8.7524
7.9381
7.9251
7.8694
7.7870
7.7815
7.7805
7.7778
7.7677
7.7615
7.7508
7.7488
7.7370
7.7351
7.6871
7.6740
7.6608
7.6129
7.5995
7.5861
7.4670
7.4648
7.4538
7.4521
7.4411
7.4389
7.2597
7.1995
7.1981
7.1870
7.1856
7.1744
7.1730
6.5033
6.4900
7t
1H NMR (600 MHz, CDCl3)
f1 (ppm)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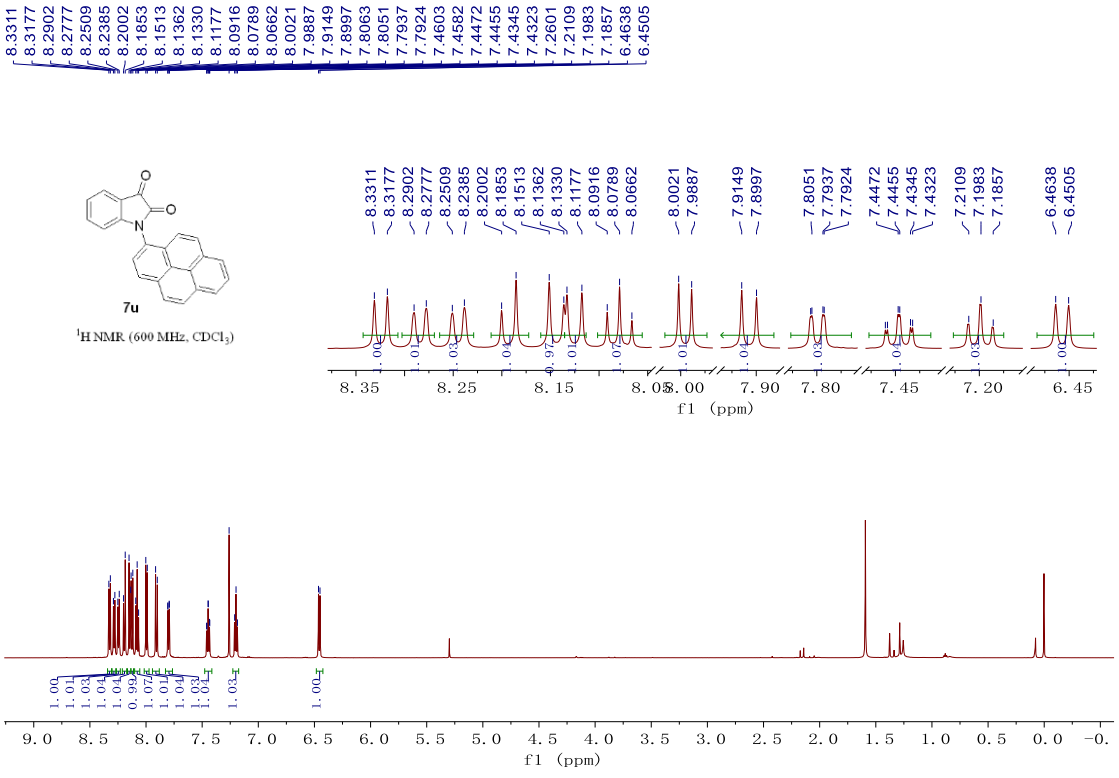
152.6307
138.6403
131.8146
131.0459
130.7785
129.1037
128.1806
128.0309
127.7274
127.5997
127.4751
127.4341
127.3317
125.5331
124.2833
123.5468
123.1110
122.7901
117.3890
111.9255
77.2114
76.9997
76.7879
7t
13C NMR (150 MHz, CDCl3)
f1 (ppm)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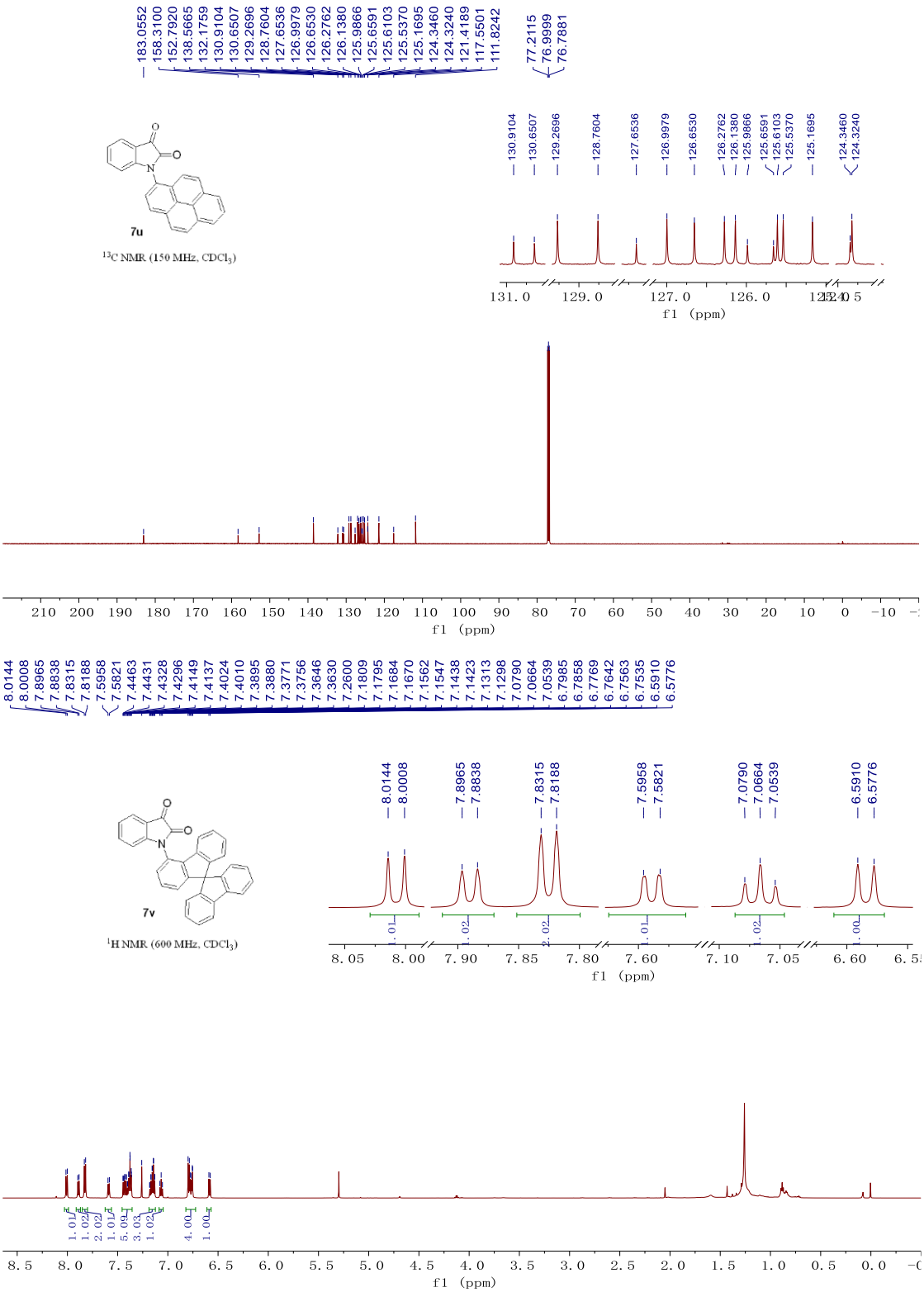
8.2902
8.2777
8.2509
8.2385
8.2002
8.1853
8.1513
8.1362
8.1330
8.1177
8.0916
8.0789
8.0662
8.0021
7.9887
7.9149
7.8997
7.8063
7.8051
7.7937
7.7924
7.4603
7.4582
7.4472
7.4455
7.4345
7.4323
7.2601
7.2109
7.1983
7.1857
6.4638
6.4505
7u
1H NMR (600 MHz, CDCl3)
f1 (ppm)


183.0552
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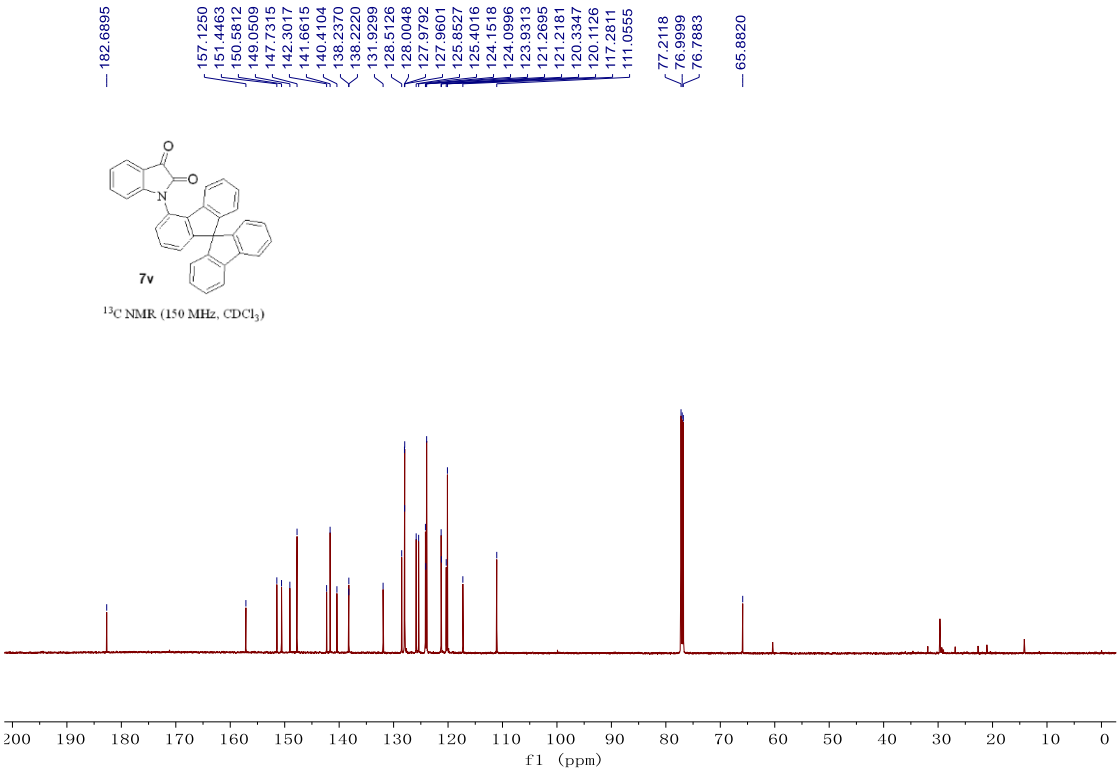
152.7920
138.5665
132.1759
130.9104
130.6507
129.2696
128.7604
127.6536
126.9979
126.6530
126.2762
126.1380
125.9866
125.6591
125.6103
125.5370
125.1695
124.3460
124.3240
121.4189
117.5501
111.8242
77.2115
76.9999
76.7881
7u
13C NMR (150 MHz, CDCl3)
f1 (ppm)
8.0144
8.0008
7.8965
7.8838
7.8315
7.8188
7.5958
7.5821
7.4463
7.4431
7.4328
7.4296
7.4149
7.4137
7.4024
7.4010
7.3895
7.3880
7.3771
7.3756
7.3646
7.3630
7.2600
7.1809
7.1795
7.1684
7.1670
7.1562
7.1547
7.1438
7.1423
7.1313
7.1298
7.0790
7.0664
7.0539
6.7985
6.7858
6.7769
6.7642
6.7563
6.7535
6.5910
6.5776
7v
1H NMR (600 MHz, CDCl3)
f1 (ppm)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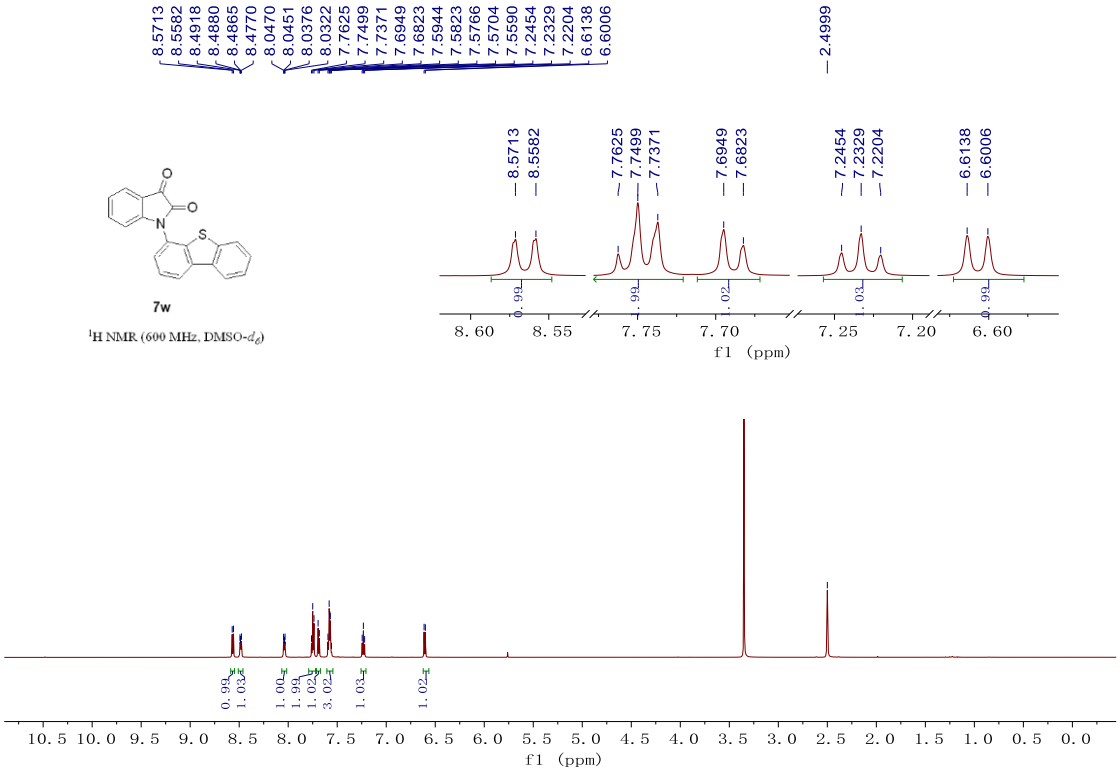
150.5812
149.0509
147.7315
142.3017
141.6615
140.4104
138.2370
138.2220
131.9299
128.5126
128.0048
127.9792
127.9601
125.8527
125.4016
124.1518
124.0996
123.9313
121.2695
121.2181
120.3347
120.1126
117.2811
111.0555
77.2118
76.9999
76.7883
65.8820
7v
13C NMR (150 MHz, CDCl3)
200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0
f1 (ppm)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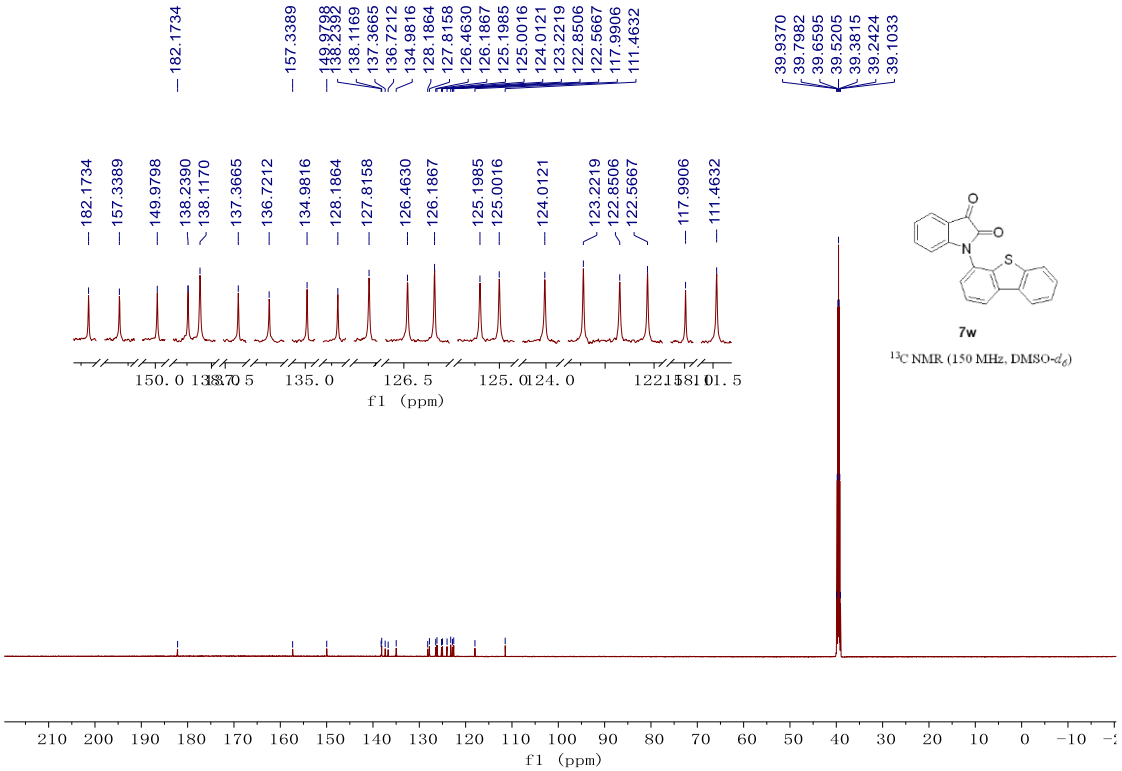
8.4918
8.4880
8.4865
8.4770
8.0470
8.0451
8.0376
8.0322
7.7625
7.7499
7.7371
7.6949
7.6823
7.5944
7.5823
7.5766
7.5704
7.5590
7.2454
7.2329
7.2204
6.6138
6.6006
2.4999
7w
1H NMR (600 MHz, DMSO-d6)
0.99
1.03
1.00
1.99
1.02
3.02
1.03
1.02
10.5 10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0
f1 (ppm)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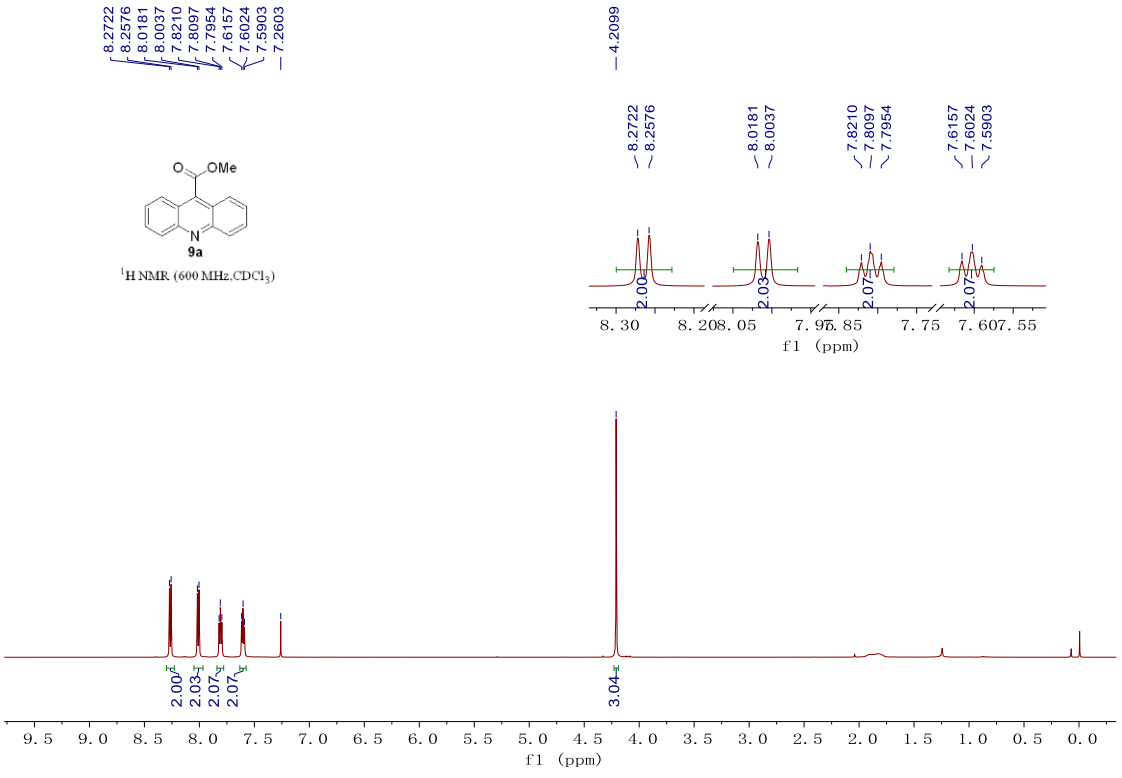
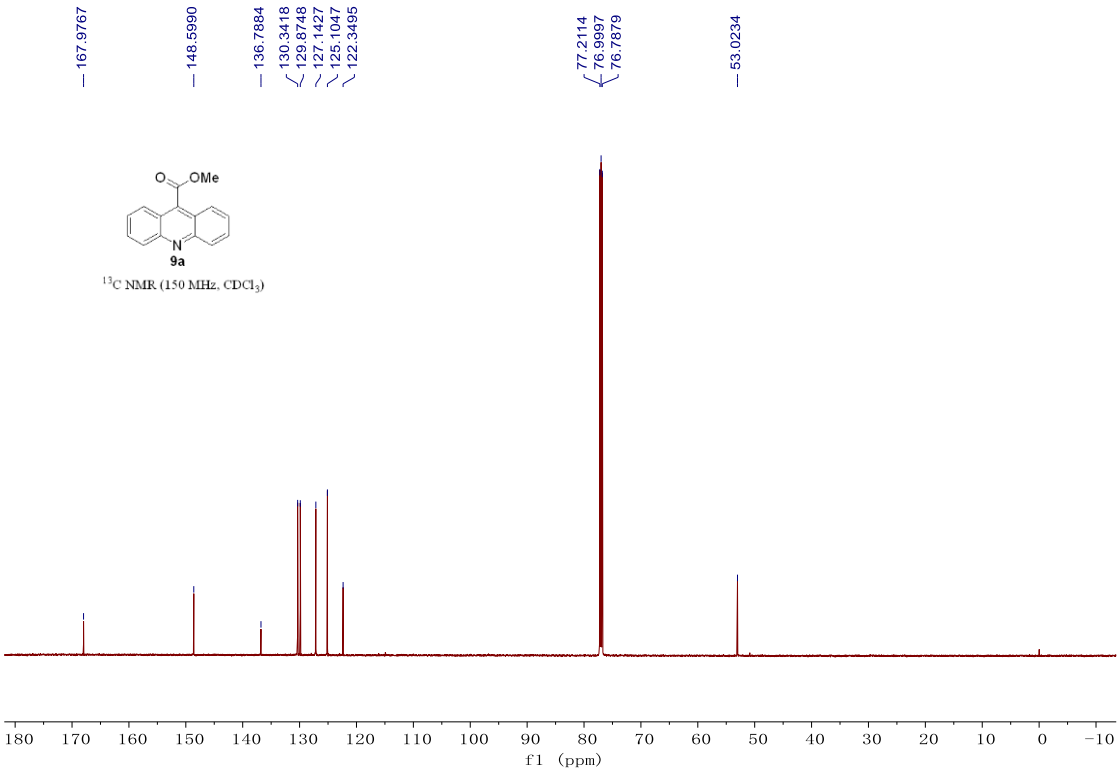
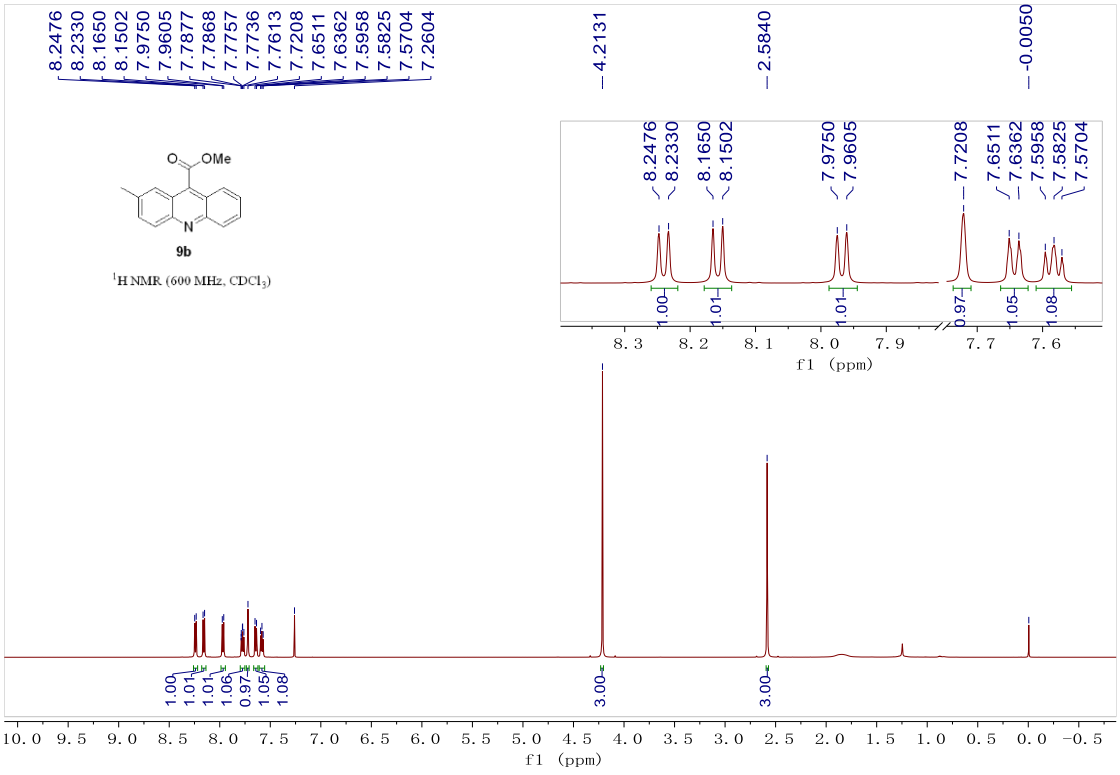
157.3389
149.9798
138.2390
138.1170
137.3665
136.7212
134.9816
128.1864
127.8158
126.4630
126.1867
125.1985
125.0016
124.0121
123.2219
122.8506
122.5667
117.9906
111.4632
39.9370
39.7982
39.6595
39.5205
39.3815
39.2424
39.1033
f1 (ppm)
7w
13C NMR (150 MHz, DMSO-d6)


8.2722
8.2576
8.0181
8.0037
7.8210
7.8097
7.7954
7.6157
7.6024
7.5903
7.2603
4.2099
2.00
2.03
2.07
2.07
3.04
f1 (ppm)
9a
1H NMR (600 MHz, CDCl3)


167.9767
148.5990
136.7884
130.3418
129.8748
127.1427
125.1047
122.3495
77.2114
76.9997
76.7879
53.0234
OMe
N
9a
13C NMR (150 MHz, CDCl3)
180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 −10
f1 (ppm)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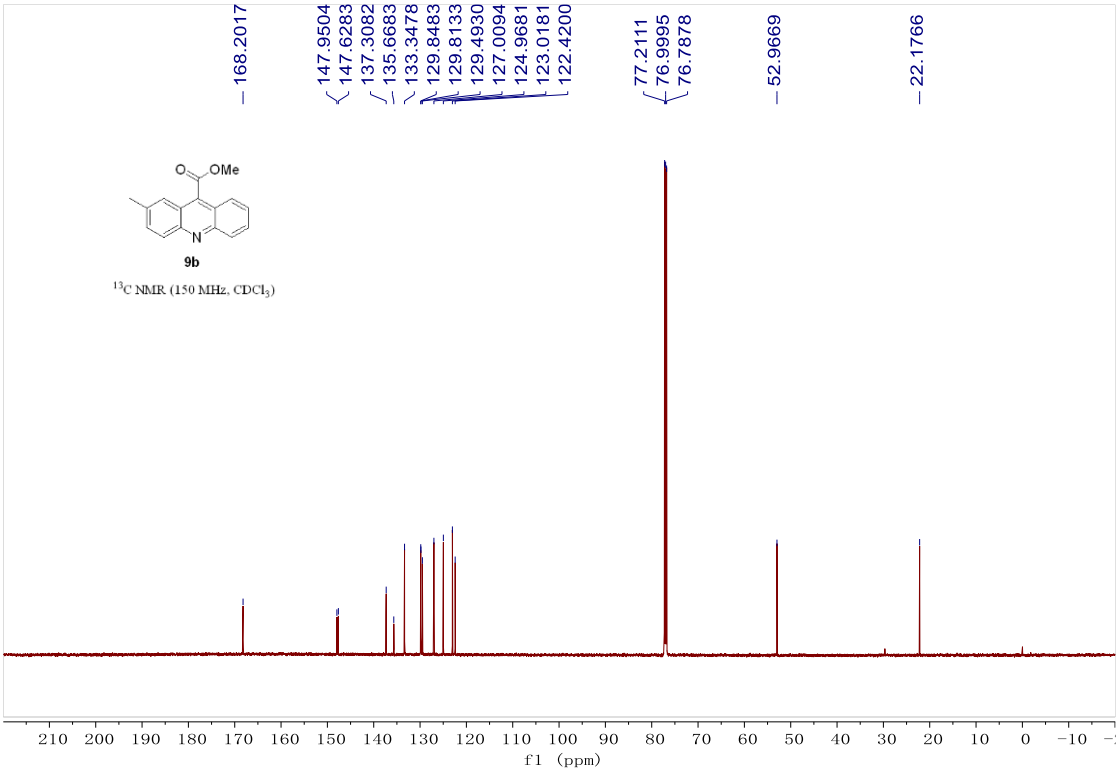
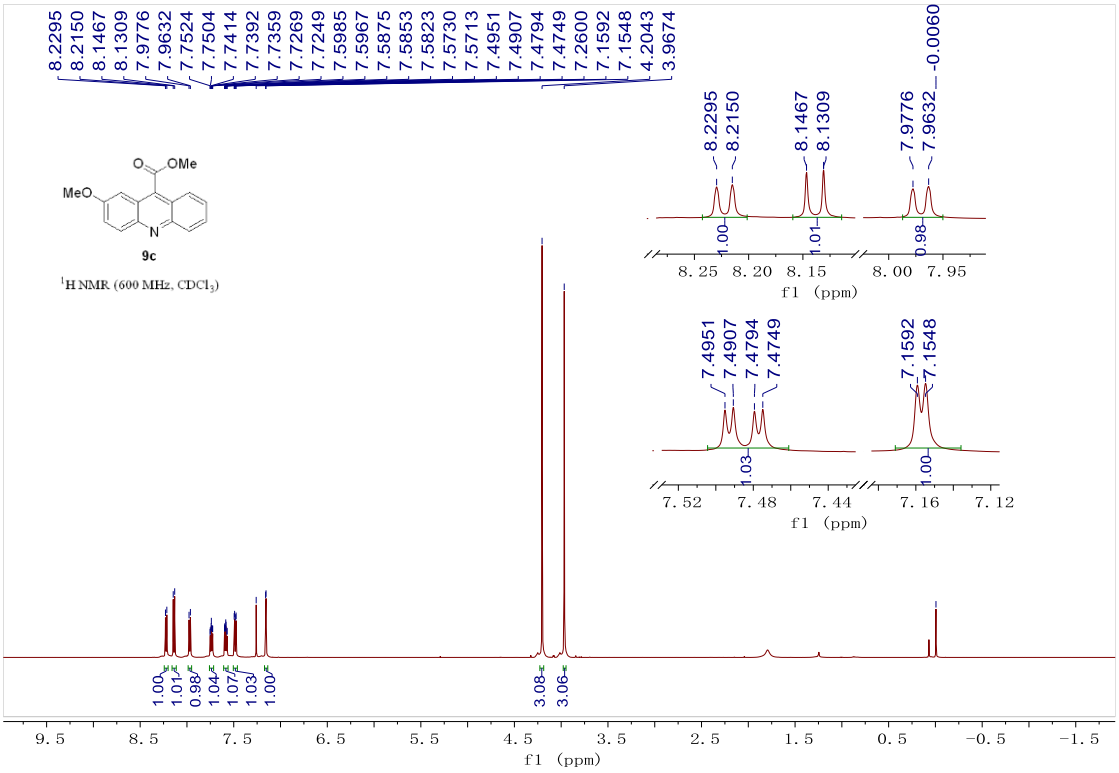
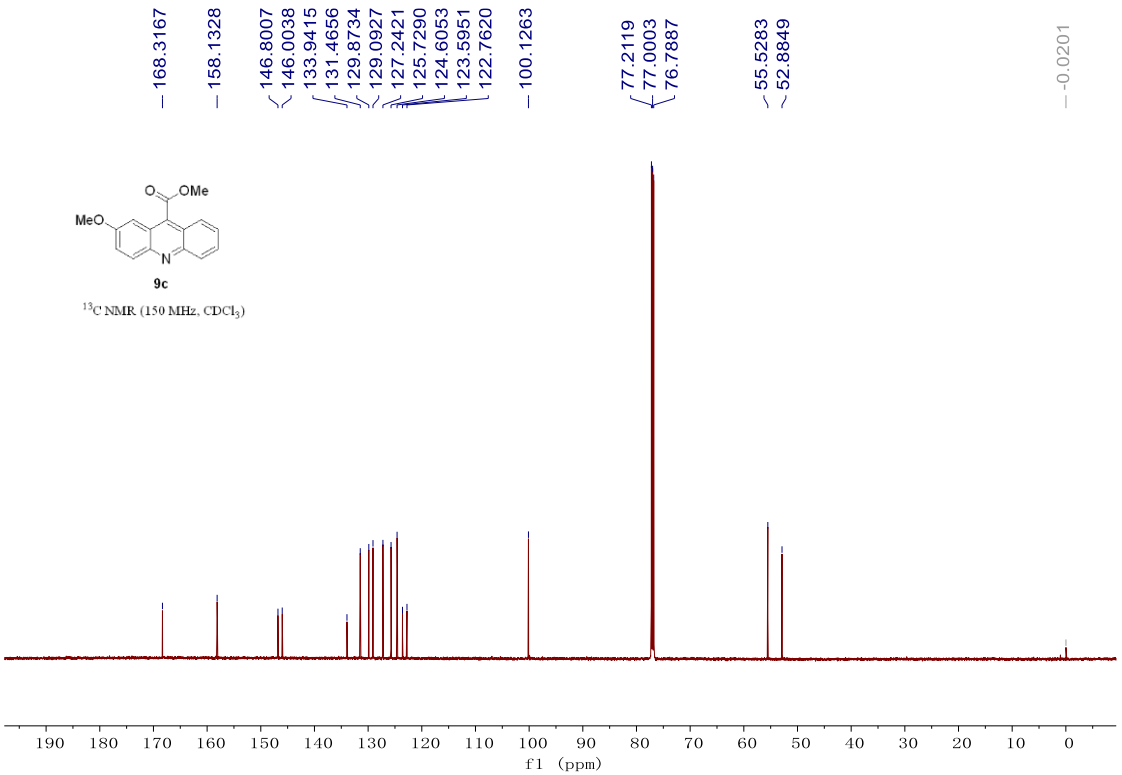
8.2330
8.1650
8.1502
7.9750
7.9605
7.7877
7.7868
7.7757
7.7736
7.7613
7.7208
7.6511
7.6362
7.5958
7.5825
7.5704
7.2604
4.2131
2.5840
-0.0050
OMe
N
9b
1H NMR (600 MHz, CDCl3)
1.00
1.01
1.06
0.97
1.05
1.08
3.00
3.00
10.0 9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 −0.5
f1 (ppm)


168.2017
147.9504
147.6283
137.3082
135.6683
133.3478
129.8483
129.8133
129.4930
127.0094
124.9681
123.0181
122.4200
77.2111
76.9995
76.7878
52.9669
22.1766
OMe
N
9b
13C NMR (150 MHz, CDCl3)
f1 (ppm)


8.2295
8.2150
8.1467
8.1309
7.9776
7.9632
7.7524
7.7504
7.7414
7.7392
7.7359
7.7269
7.7249
7.5985
7.5967
7.5875
7.5853
7.5823
7.5730
7.5713
7.4951
7.4907
7.4794
7.4749
7.2600
7.1592
7.1548
4.2043
3.9674
-0.0060
OMe
MeO
N
9c
1H NMR (600 MHz, CDCl3)
1.00
1.01
0.98
1.04
1.07
1.03
1.00
3.08
3.06
f1 (ppm)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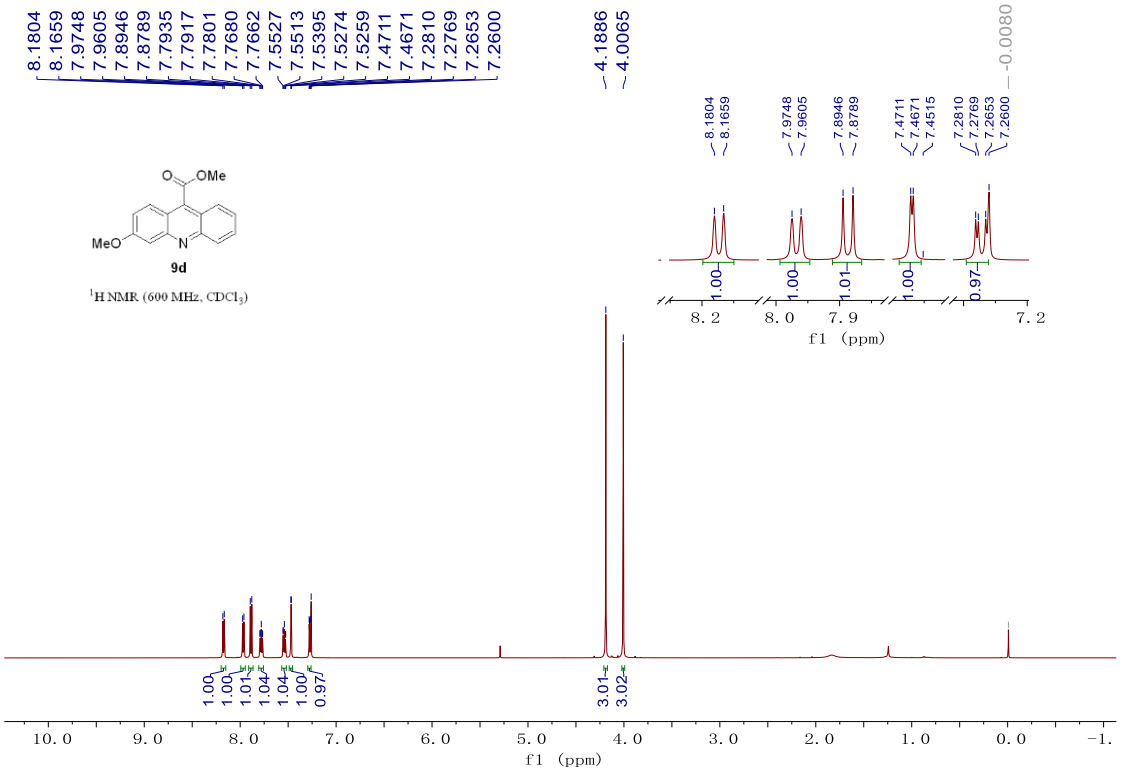
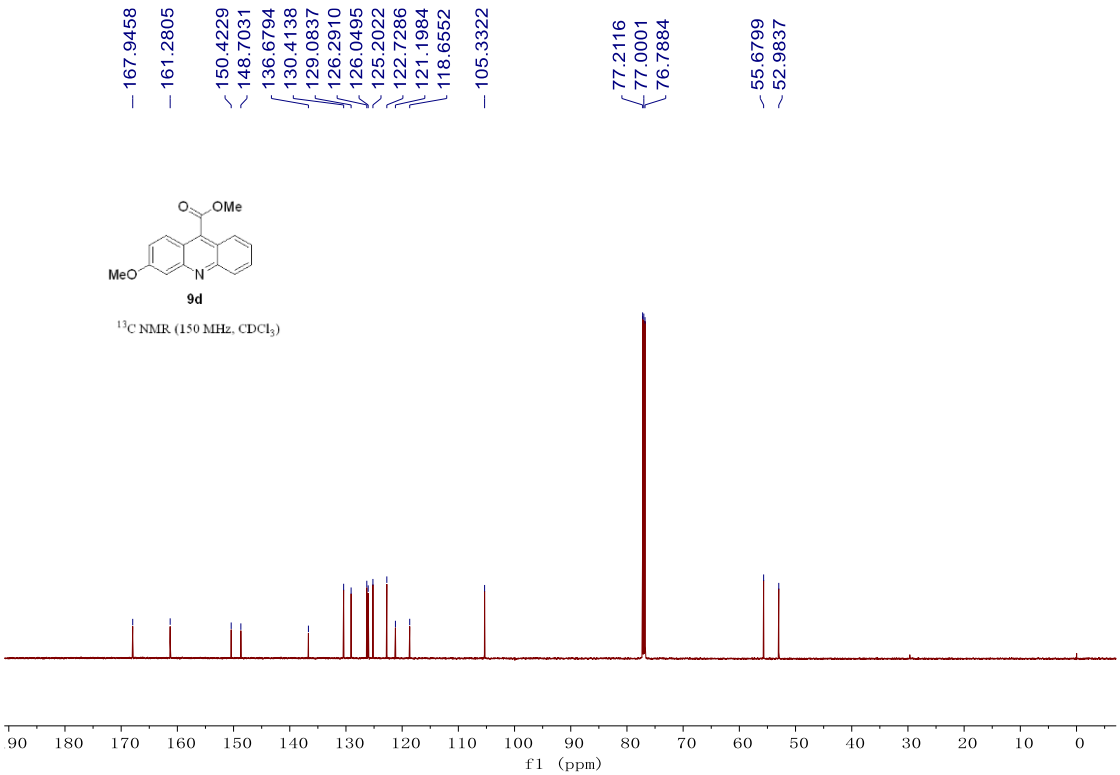
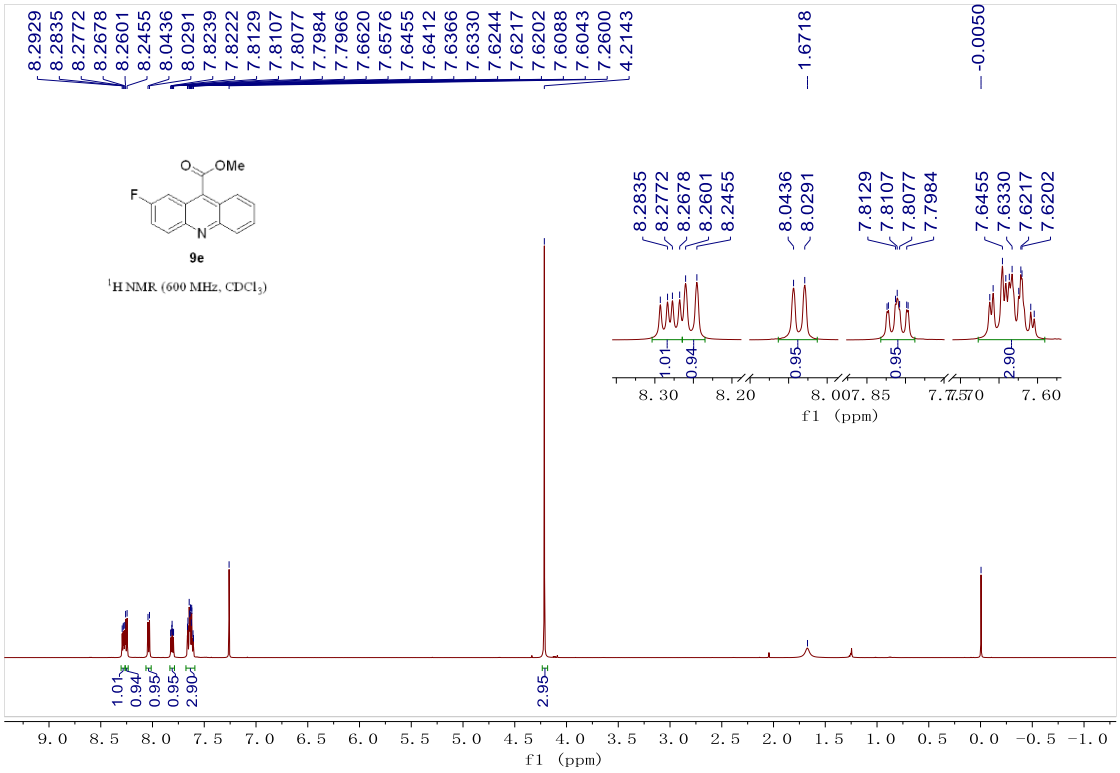
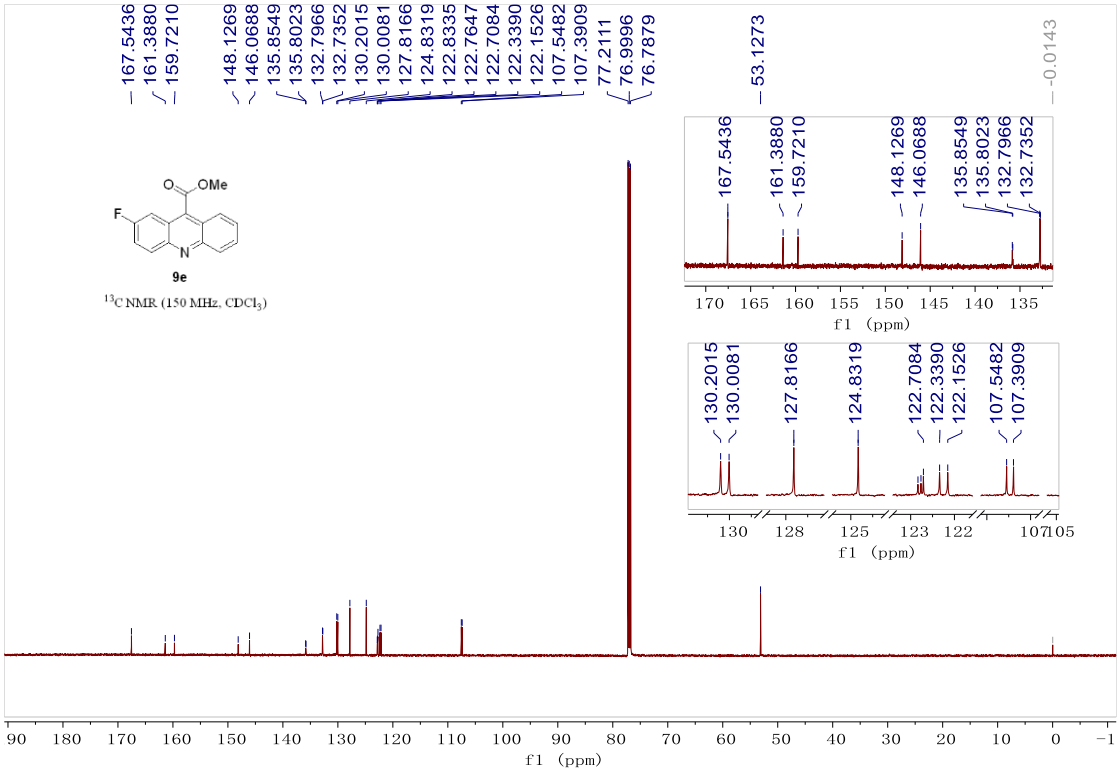
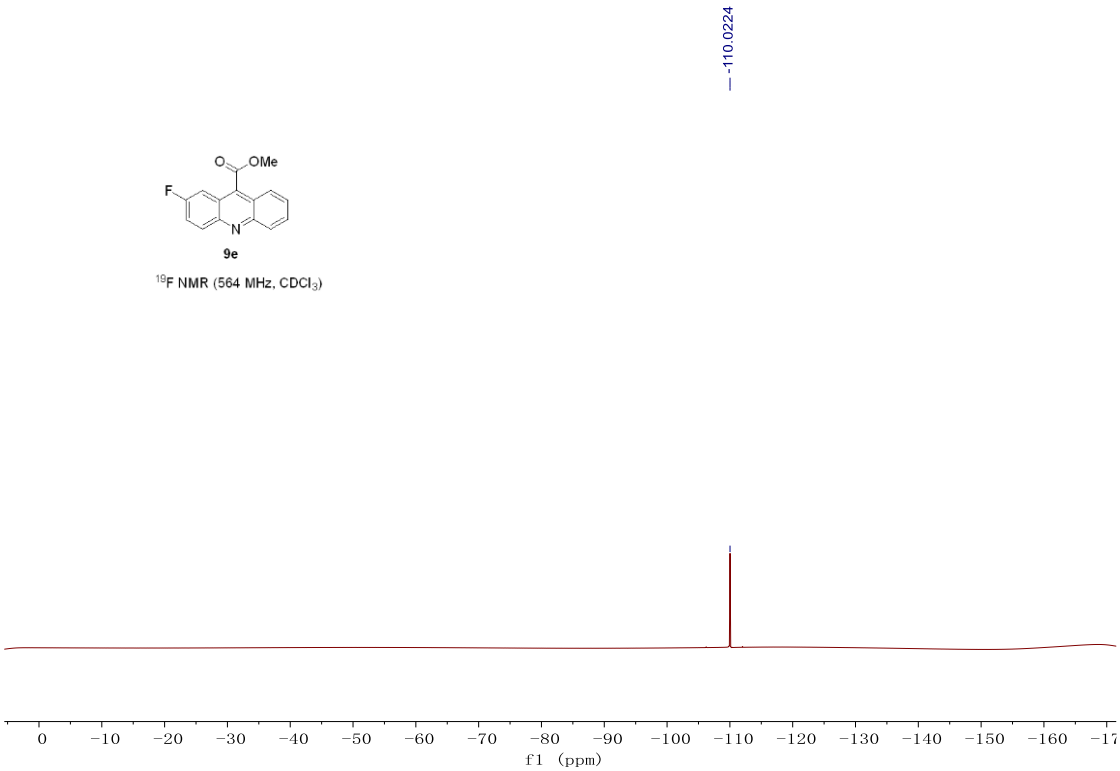
158.1328
146.8007
146.0038
133.9415
131.4656
129.8734
129.0927
127.2421
125.7290
124.6053
123.5951
122.7620
100.1263
77.2119
77.0003
76.7887
55.5283
52.8849
-0.0201
OMe
MeO
N
9c
13C NMR (150 MHz, CDCl3)
f1 (ppm)

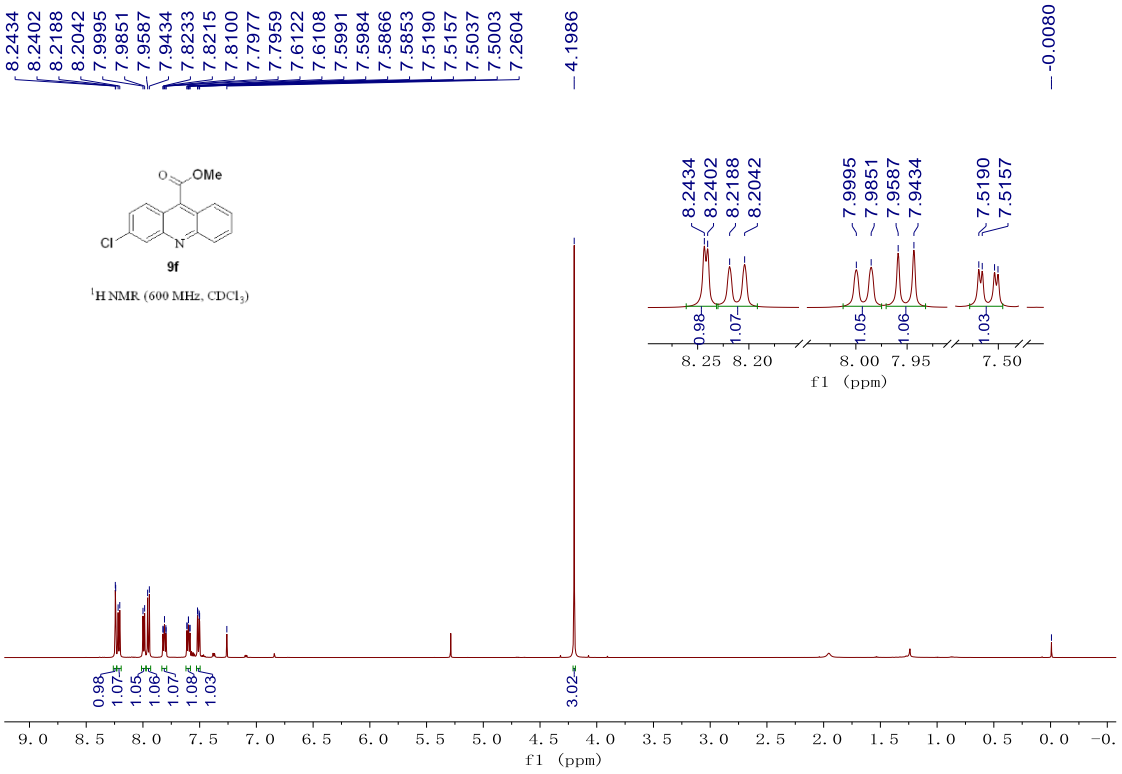

8.1804
8.1659
7.9748
7.9605
7.8946
7.8789
7.7935
7.7917
7.7801
7.7680
7.7662
7.5527
7.5513
7.5395
7.5274
7.5259
7.4711
7.4671
7.2810
7.2769
7.2653
7.2600
4.1886
4.0065
-0.0080
OMe
MeO
N
9d
1H NMR (600 MHz, CDCl3)
1.00
1.00
1.01
1.04
1.04
1.00
0.97
3.01
3.02
f1 (ppm)


167.9458
161.2805
150.4229
148.7031
136.6794
130.4138
129.0837
126.2910
126.0495
125.2022
122.7286
121.1984
118.6552
105.3322
77.2116
77.0001
76.7884
55.6799
52.9837
O
OMe
MeO
N
9d
13C NMR (150 MHz, CDCl3)
f1 (ppm)

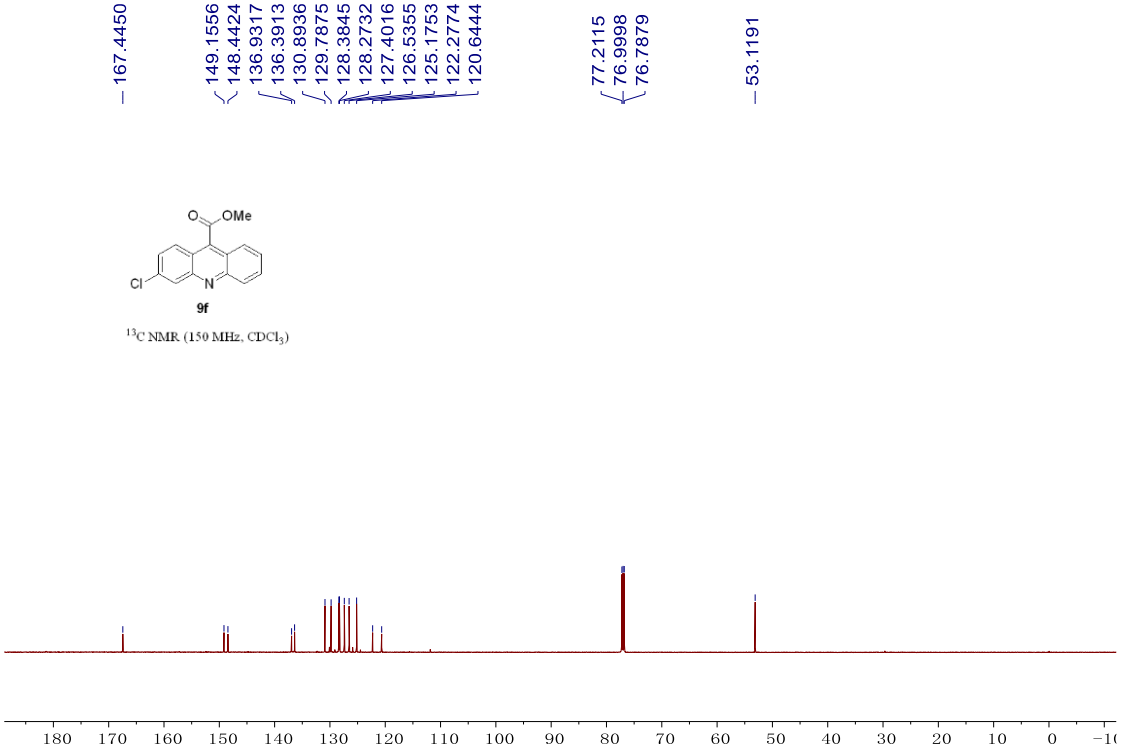

8.2929
8.2835
8.2772
8.2678
8.2601
8.2455
8.0436
8.0291
7.8239
7.8222
7.8129
7.8107
7.8077
7.7984
7.7966
7.6620
7.6576
7.6455
7.6412
7.6366
7.6330
7.6244
7.6217
7.6202
7.6088
7.6043
7.2600
4.2143
1.6718
-0.0050
O
OMe
F
N
9e
1H NMR (600 MHz, CDCl3)
1.01
0.94
0.95
0.95
2.90
2.95
f1 (ppm)


167.5436
161.3880
159.7210
148.1269
146.0688
135.8549
135.8023
132.7966
132.7352
130.2015
130.0081
127.8166
124.8319
122.8335
122.7647
122.7084
122.3390
122.1526
107.5482
107.3909
77.2111
76.9996
76.7879
53.1273
-0.0143
O
OMe
F
N
9e
13C NMR (150 MHz, CDCl3)
f1 (ppm)

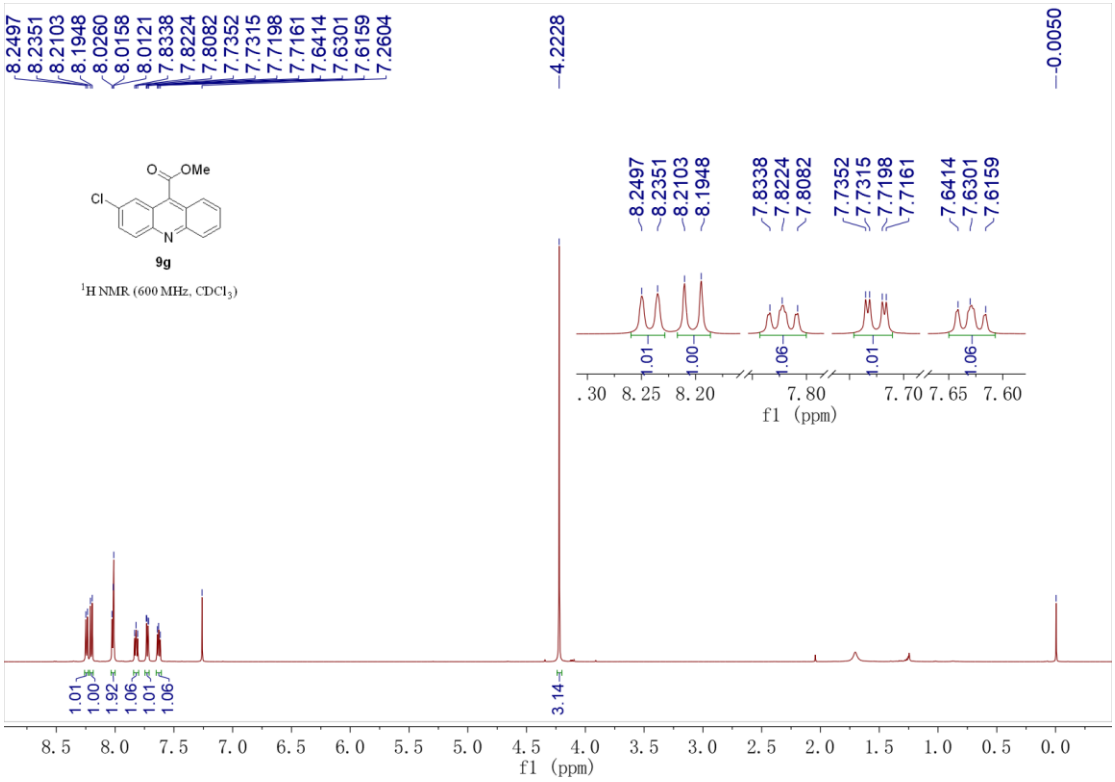

-110.0224
O
OMe
F
N
9e
19F NMR (564 MHz, CDCl3)
f1 (ppm)

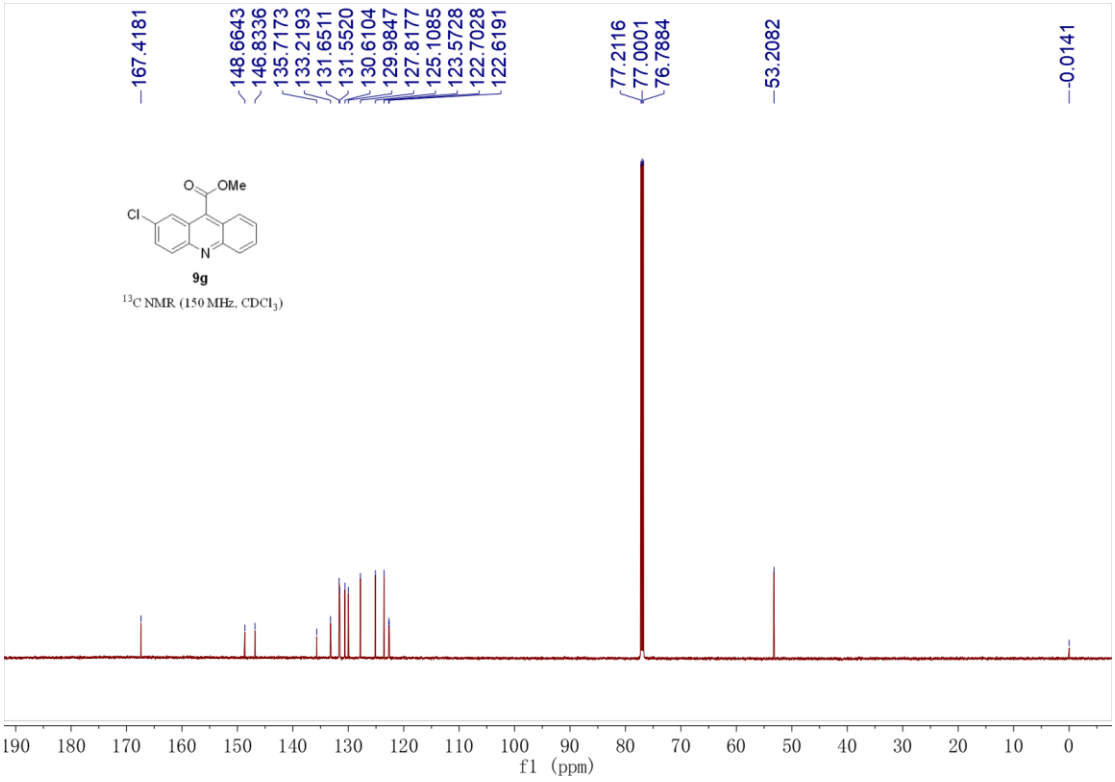


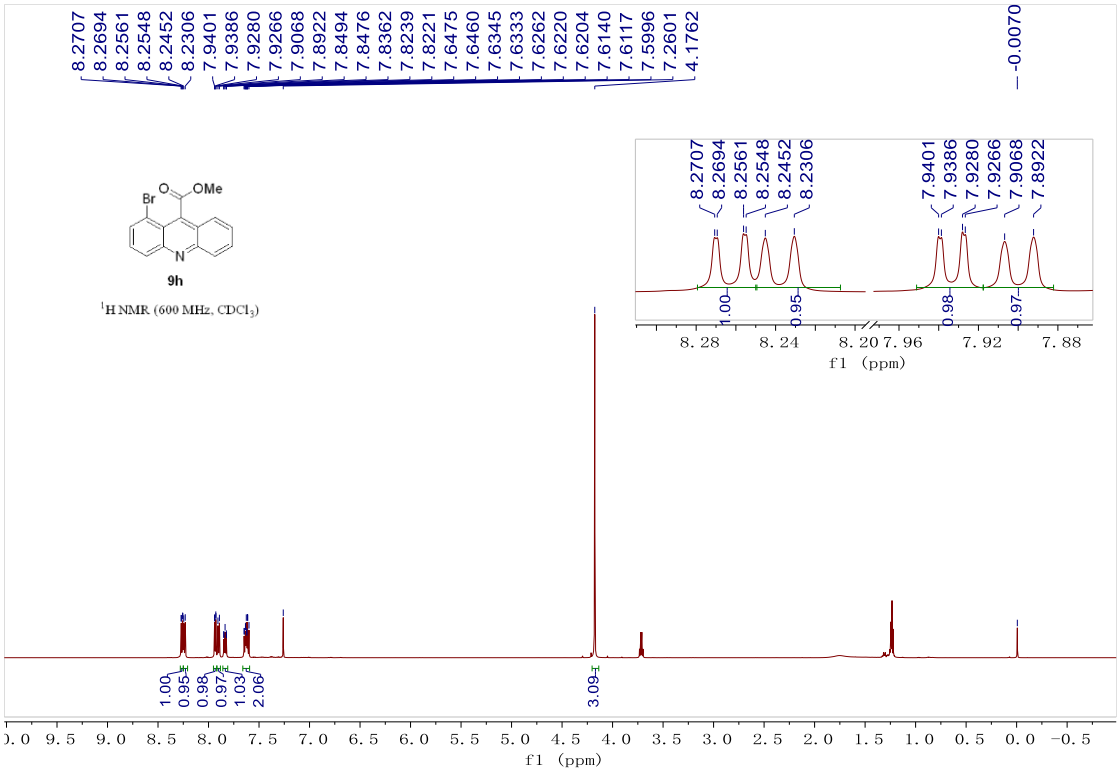
^{1}H NMR (600 MHz, $CDCl_3$)

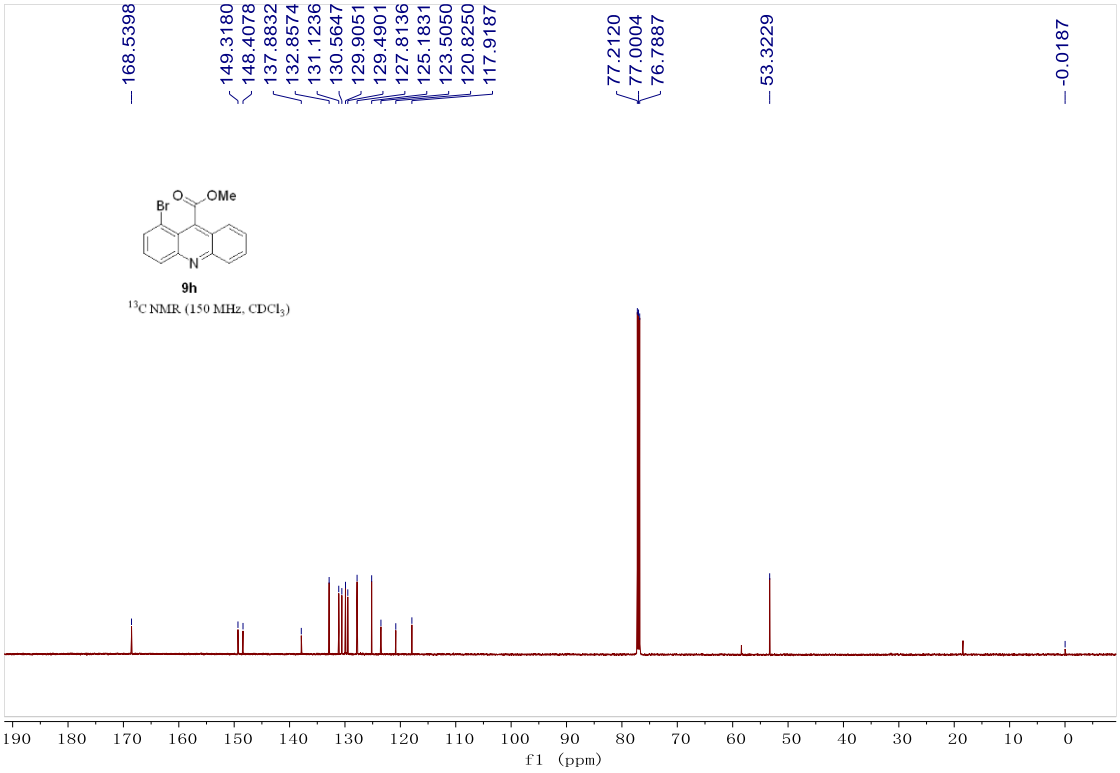


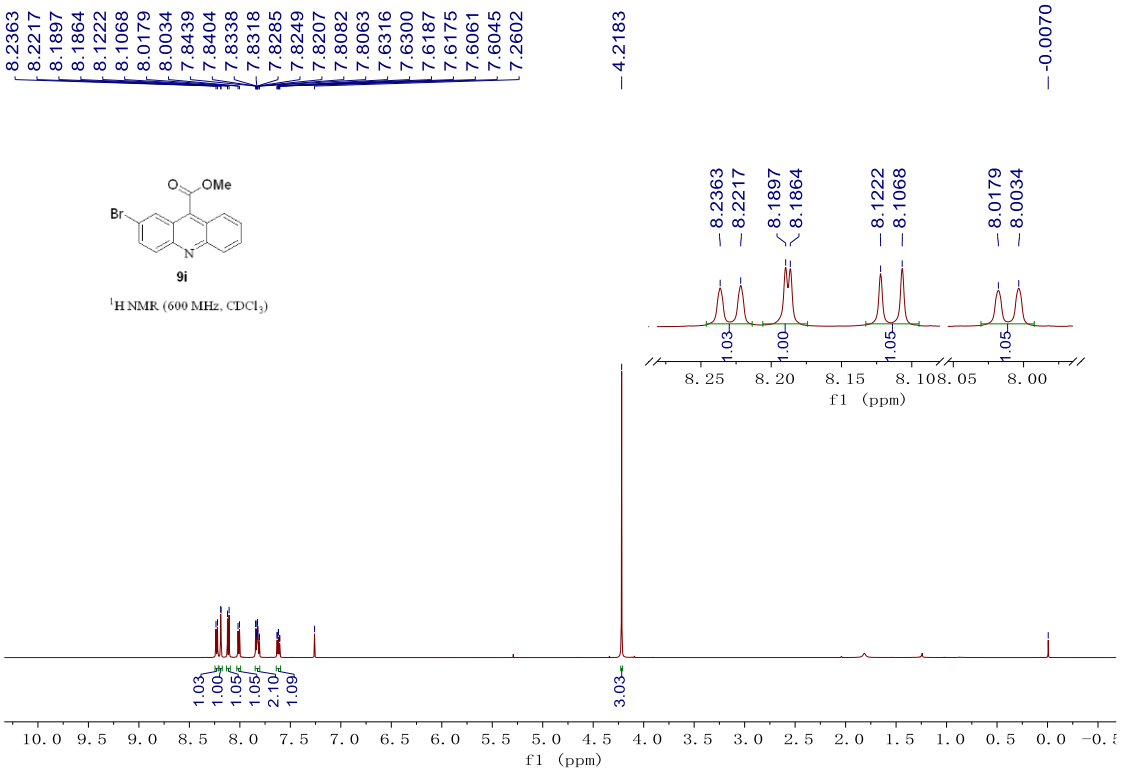
^{13}C NMR (150 MHz, $CDCl_3$)

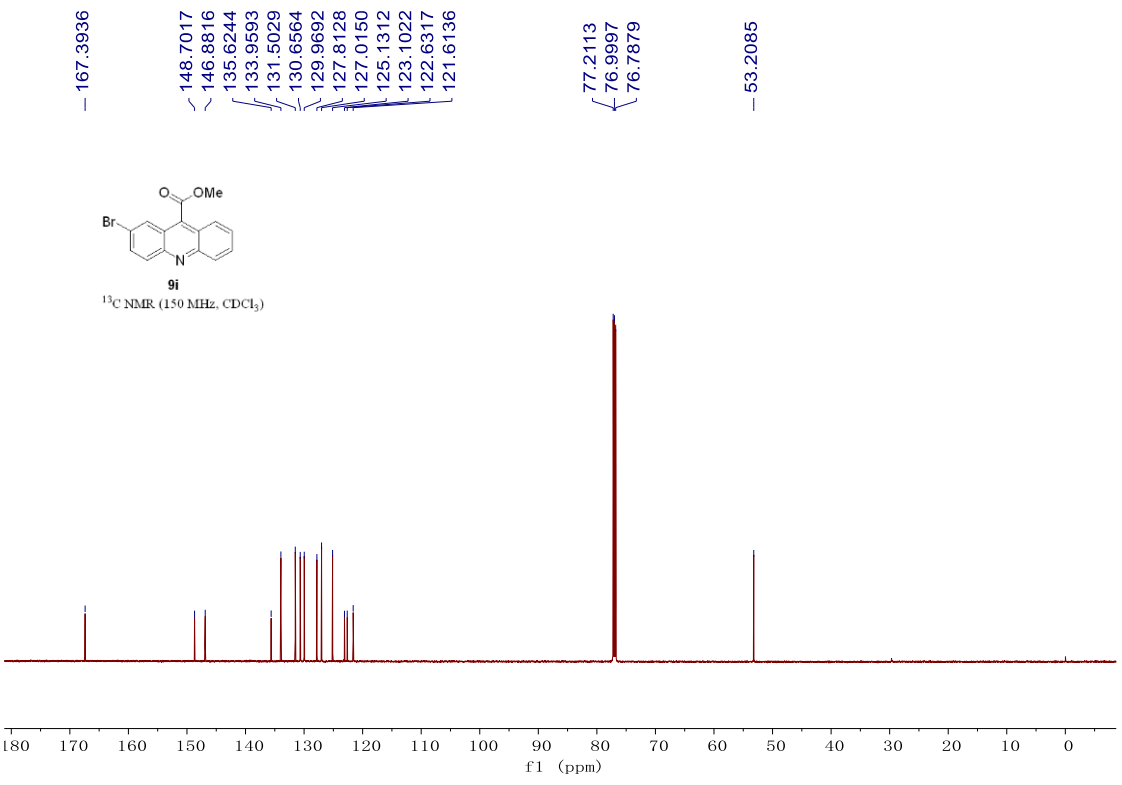

8.2497
8.2351
8.2103
8.1948
8.0260
8.0158
8.0121
7.8338
7.8224
7.8082
7.7352
7.7315
7.7198
7.7161
7.6414
7.6301
7.6159
7.2604
4.2228
0.0050
O
OMe
Cl
N
9g
1H NMR (600 MHz, CDCl3)
1.01
1.00
1.92
1.06
1.01
1.06
3.14
f1 (ppm)

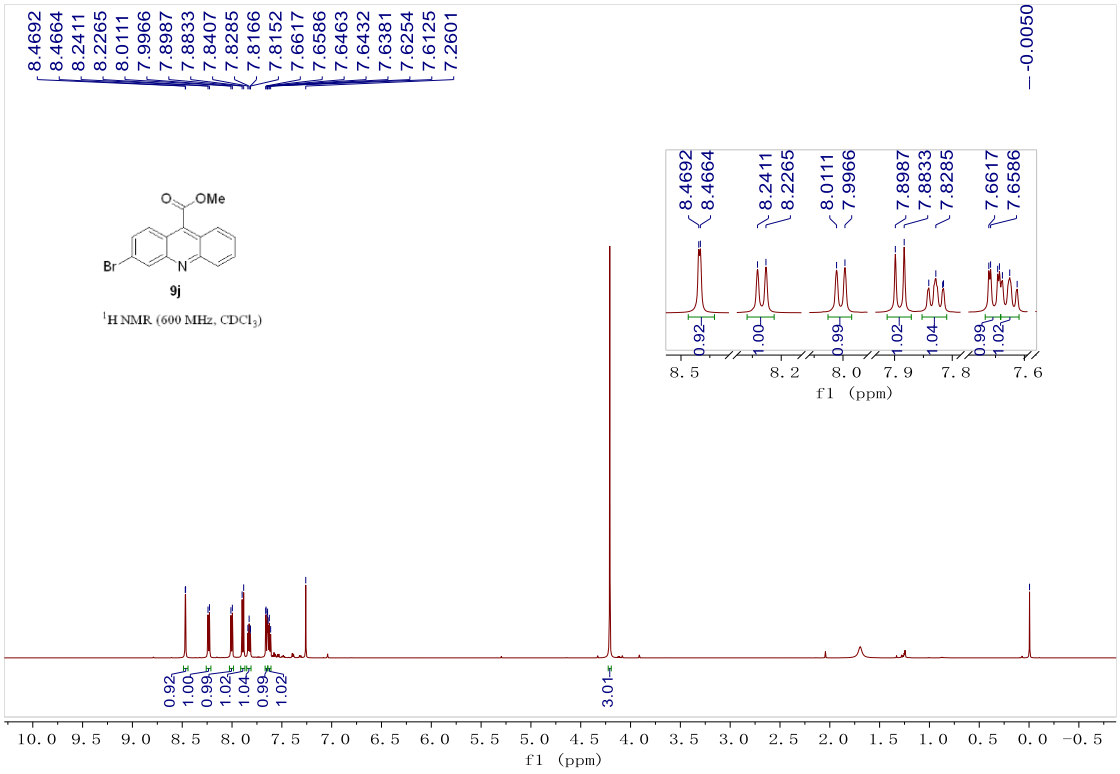

167.4181
148.6643
146.8336
135.7173
133.2193
131.6511
131.5520
130.6104
129.9847
127.8177
125.1085
123.5728
122.7028
122.6191
77.2116
77.0001
76.7884
53.2082
0.0141
O
OMe
Cl
N
9g
13C NMR (150 MHz, CDCl3)
f1 (ppm)

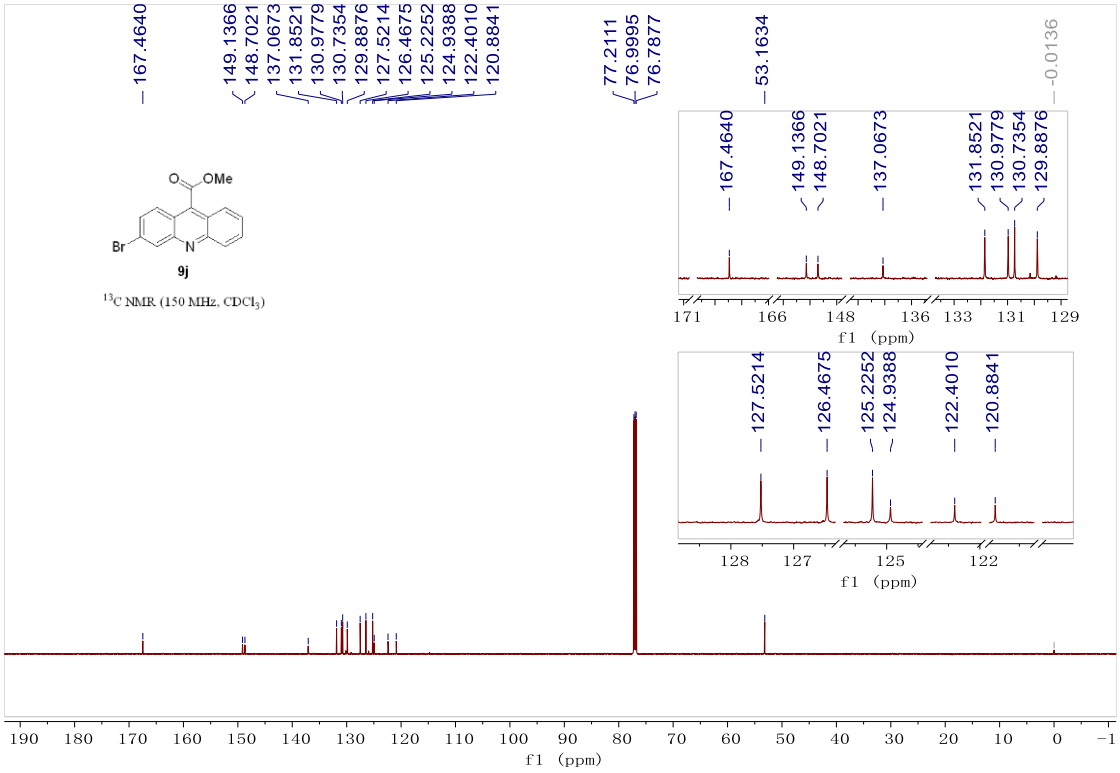

8.2707
8.2694
8.2561
8.2548
8.2452
8.2306
7.9401
7.9386
7.9280
7.9266
7.9068
7.8922
7.8494
7.8476
7.8362
7.8239
7.8221
7.6475
7.6460
7.6345
7.6333
7.6262
7.6220
7.6204
7.6140
7.6117
7.5996
7.2601
4.1762
-0.0070
Br
O
OMe
N
9h
1H NMR (600 MHz, CDCl3)
1.00
0.95
0.98
0.97
1.03
2.06
3.09
f1 (ppm)

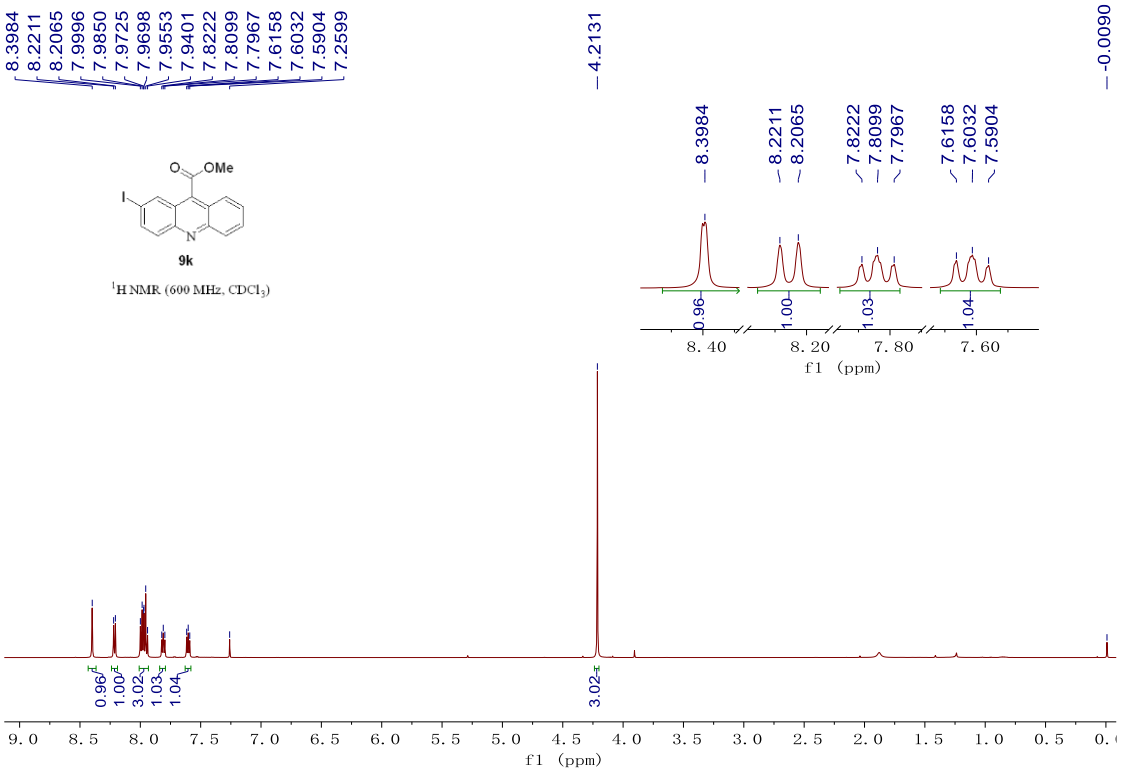

168.5398
149.3180
148.4078
137.8832
132.8574
131.1236
130.5647
129.9051
129.4901
127.8136
125.1831
123.5050
120.8250
117.9187
77.2120
77.0004
76.7887
53.3229
-0.0187
Br
O
OMe
N
9h
13C NMR (150 MHz, CDCl3)
f1 (ppm)

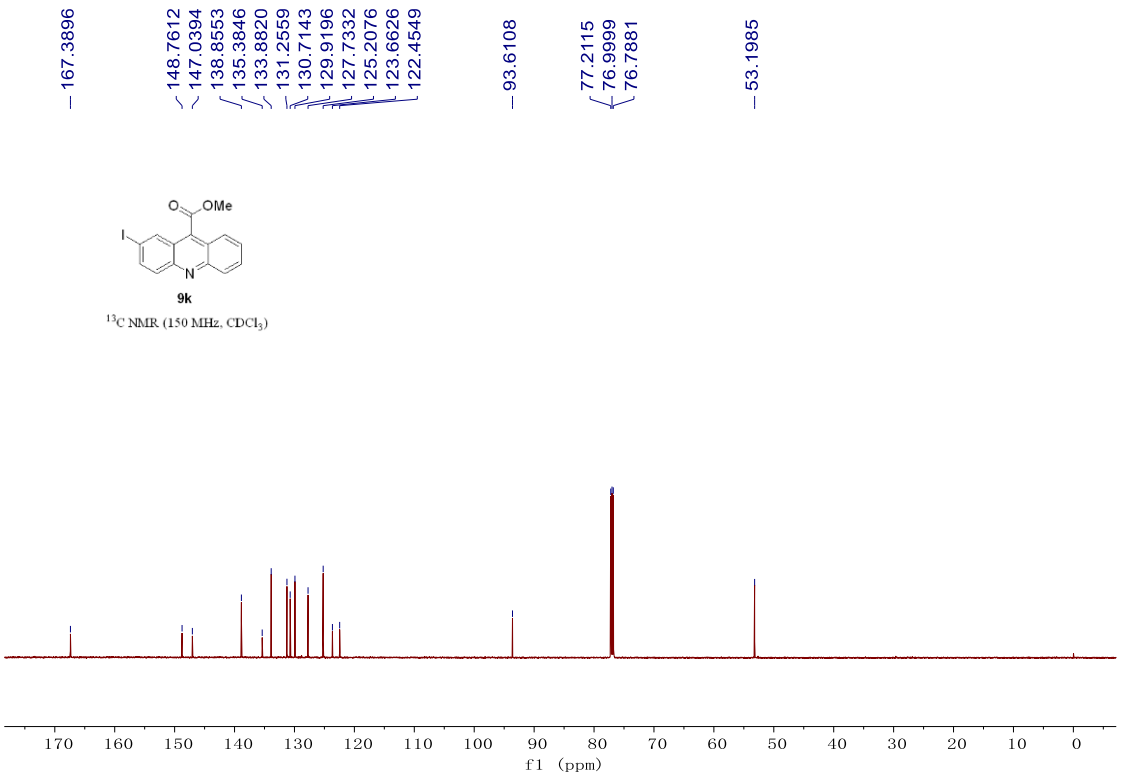

8.2363
8.2217
8.1897
8.1864
8.1222
8.1068
8.0179
8.0034
7.8439
7.8404
7.8338
7.8318
7.8285
7.8249
7.8207
7.8082
7.8063
7.6316
7.6300
7.6187
7.6175
7.6061
7.6045
7.2602
4.2183
-0.0070
Br
OMe
O
N
9i
1H NMR (600 MHz, CDCl3)
1.03
1.00
1.05
1.05
2.10
1.09
3.03
f1 (ppm)

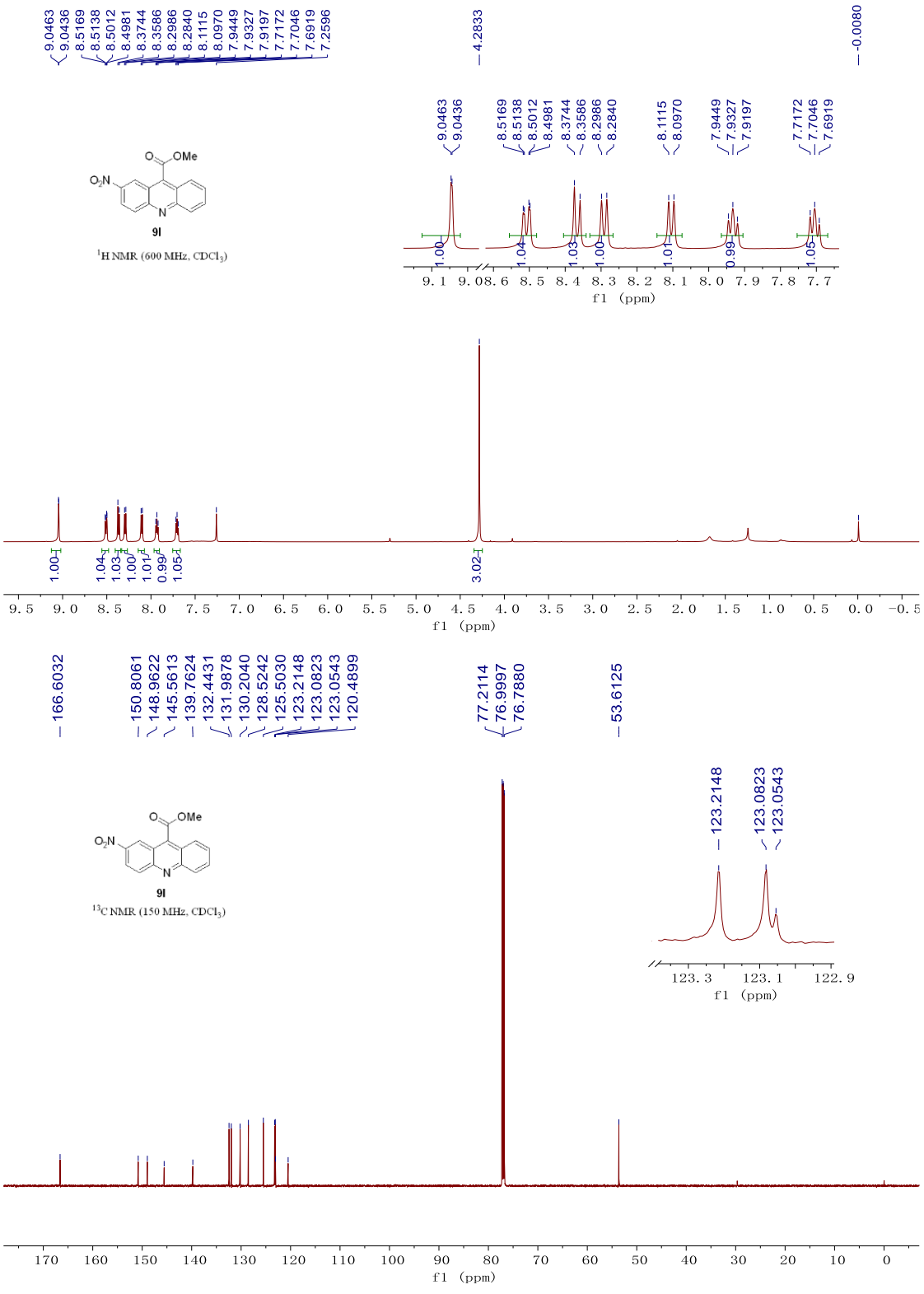

167.3936
148.7017
146.8816
135.6244
133.9593
131.5029
130.6564
129.9692
127.8128
127.0150
125.1312
123.1022
122.6317
121.6136
77.2113
76.9997
76.7879
53.2085
Br
OMe
O
N
9i
13C NMR (150 MHz, CDCl3)
f1 (ppm)

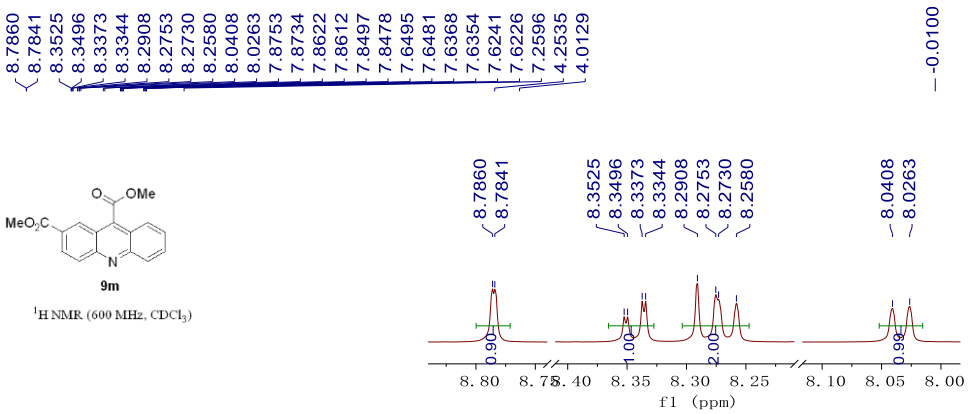

8.4692
8.4664
8.2411
8.2265
8.0111
7.9966
7.8987
7.8833
7.8407
7.8285
7.8166
7.8152
7.6617
7.6586
7.6463
7.6432
7.6381
7.6254
7.6125
7.2601
-0.0050
O
OMe
Br
N
9j
^{1}H NMR (600 MHz, CDCl$_3$)
0.92
1.00
0.99
1.02
1.04
0.99
1.02
3.01
f1 (ppm)

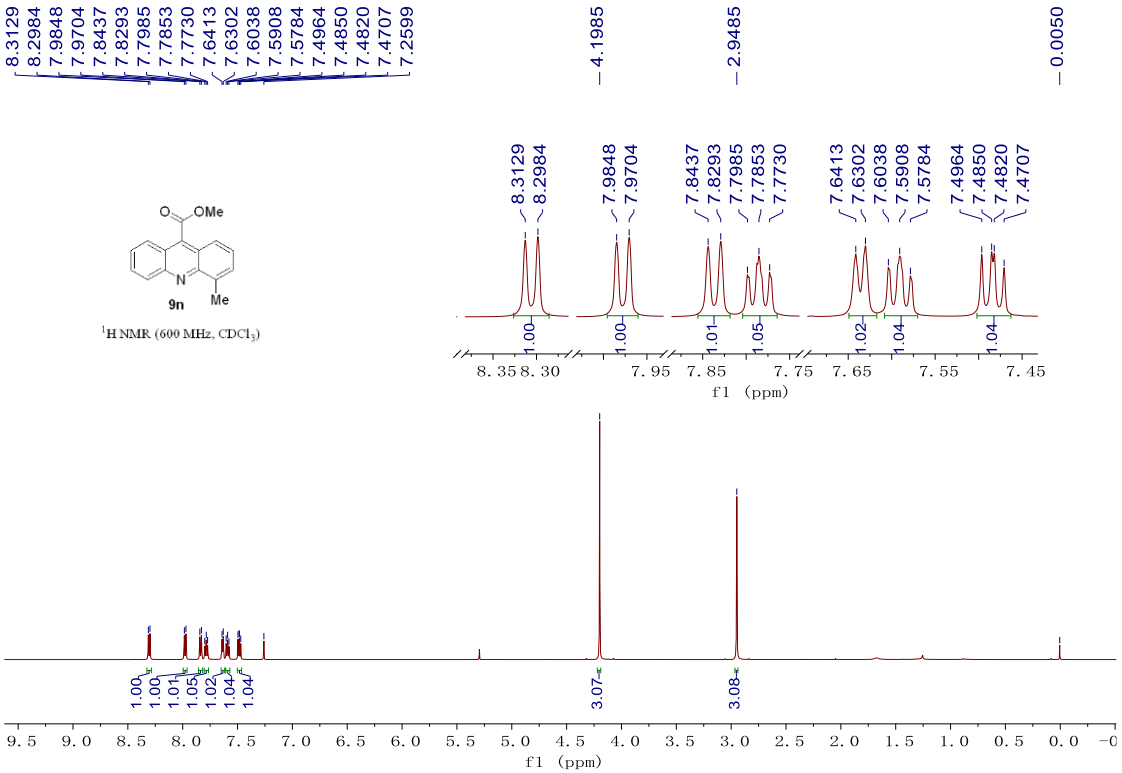

167.4640
149.1366
148.7021
137.0673
131.8521
130.9779
130.7354
129.8876
127.5214
126.4675
125.2252
124.9388
122.4010
120.8841
77.2111
76.9995
76.7877
53.1634
-0.0136
O
OMe
Br
N
9j
^{13}C NMR (150 MHz, CDCl$_3$)
f1 (ppm)

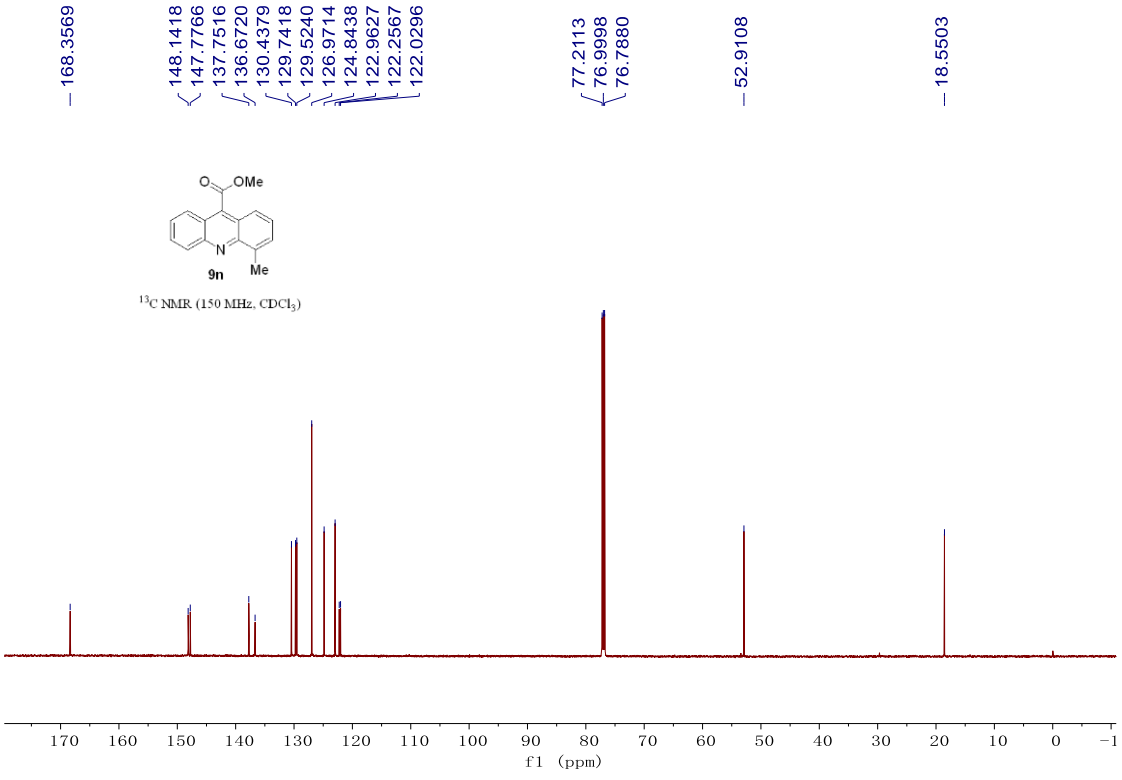

8.3984
8.2211
8.2065
7.9996
7.9850
7.9725
7.9698
7.9553
7.9401
7.8222
7.8099
7.7967
7.6158
7.6032
7.5904
7.2599
4.2131
-0.0090
OMe
I
N
9k
1H NMR (600 MHz, CDCl3)
0.96
1.00
3.02
1.03
1.04
8.40
8.20
7.80
7.60
f1 (ppm)
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5

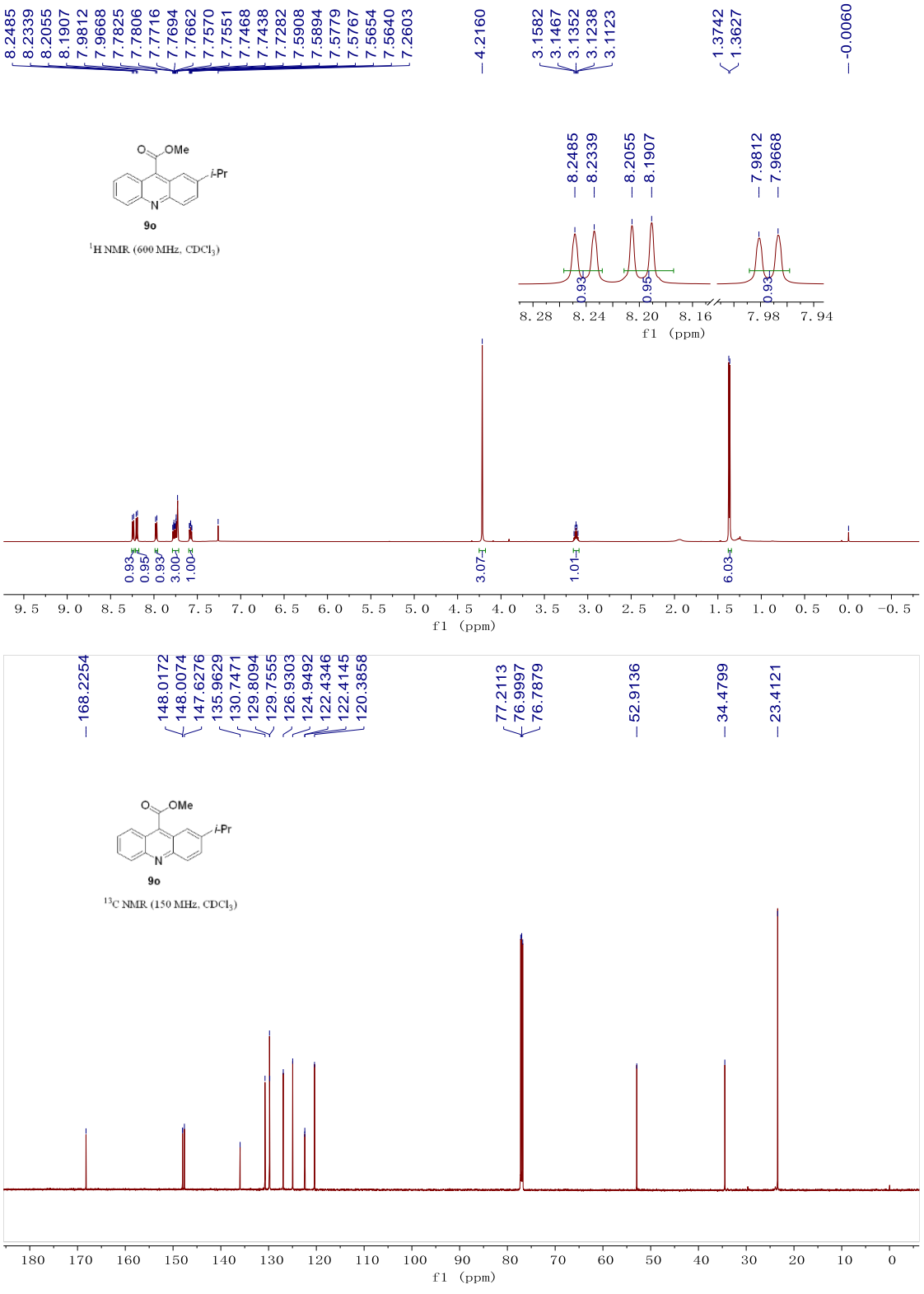

167.3896
148.7612
147.0394
138.8553
135.3846
133.8820
131.2559
130.7143
129.9196
127.7332
125.2076
123.6626
122.4549
93.6108
77.2115
76.9999
76.7881
53.1985
OMe
I
N
9k
13C NMR (150 MHz, CDCl3)
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


9.0463
9.0436
8.5169
8.5138
8.5012
8.4981
8.3744
8.3586
8.2986
8.2840
8.1115
8.0970
7.9449
7.9327
7.9197
7.7172
7.7046
7.6919
7.2596
4.2833
0.0080
OMe
O2N
N
9l
1H NMR (600 MHz, CDCl3)
1.00
1.04
1.03
1.00
1.01
0.99
1.05
3.02
f1 (ppm)
166.6032
150.8061
148.9622
145.5613
139.7624
132.4431
131.9878
130.2040
128.5242
125.5030
123.2148
123.0823
123.0543
120.4899
77.2114
76.9997
76.7880
53.6125
13C NMR (150 MHz, CDCl3)
f1 (ppm)


8.7860
8.7841
8.3525
8.3496
8.3373
8.3344
8.2908
8.2753
8.2730
8.2580
8.0408
8.0263
7.8753
7.8734
7.8622
7.8612
7.8497
7.8478
7.6495
7.6481
7.6368
7.6354
7.6241
7.6226
7.2596
4.2535
4.0129
-0.0100
MeO2C
OMe
N
9m
1H NMR (600 MHz, CDCl3)
0.90
1.00
2.00
1.05
1.06
3.02
3.04
f1 (ppm)
167.3528
166.3068
149.9536
149.4292
138.8157
131.4772
130.2361
129.9956
129.1281
128.9978
128.3880
127.6424
125.3786
122.6376
121.2386
77.2118
77.0002
76.7884
53.3098
52.6076
13C NMR (150 MHz, CDCl3)


8.3129
8.2984
7.9848
7.9704
7.8437
7.8293
7.7985
7.7853
7.7730
7.6413
7.6302
7.6038
7.5908
7.5784
7.4964
7.4850
7.4820
7.4707
7.2599
4.1985
2.9485
0.0050
OMe
N
Me
9n
1H NMR (600 MHz, CDCl3)
1.00
1.00
1.01
1.05
1.02
1.04
1.04
3.07
3.08
f1 (ppm)


168.3569
148.1418
147.7766
137.7516
136.6720
130.4379
129.7418
129.5240
126.9714
124.8438
122.9627
122.2567
122.0296
77.2113
76.9998
76.7880
52.9108
18.5503
OMe
N
Me
9n
13C NMR (150 MHz, CDCl3)
f1 (ppm)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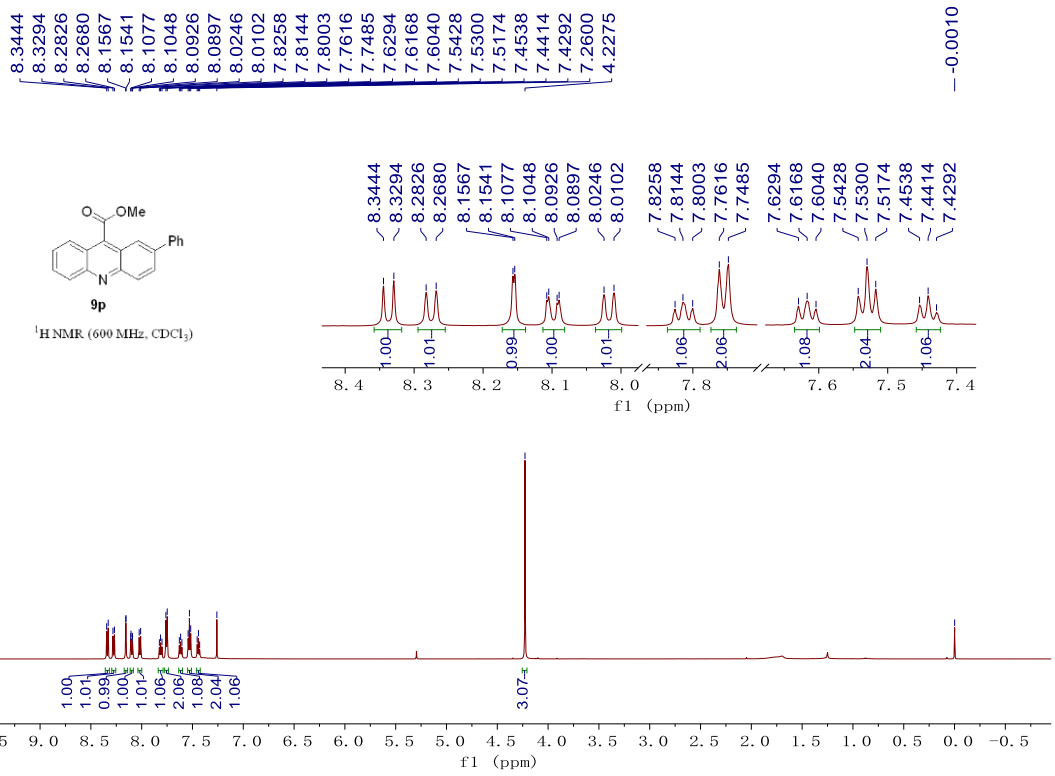
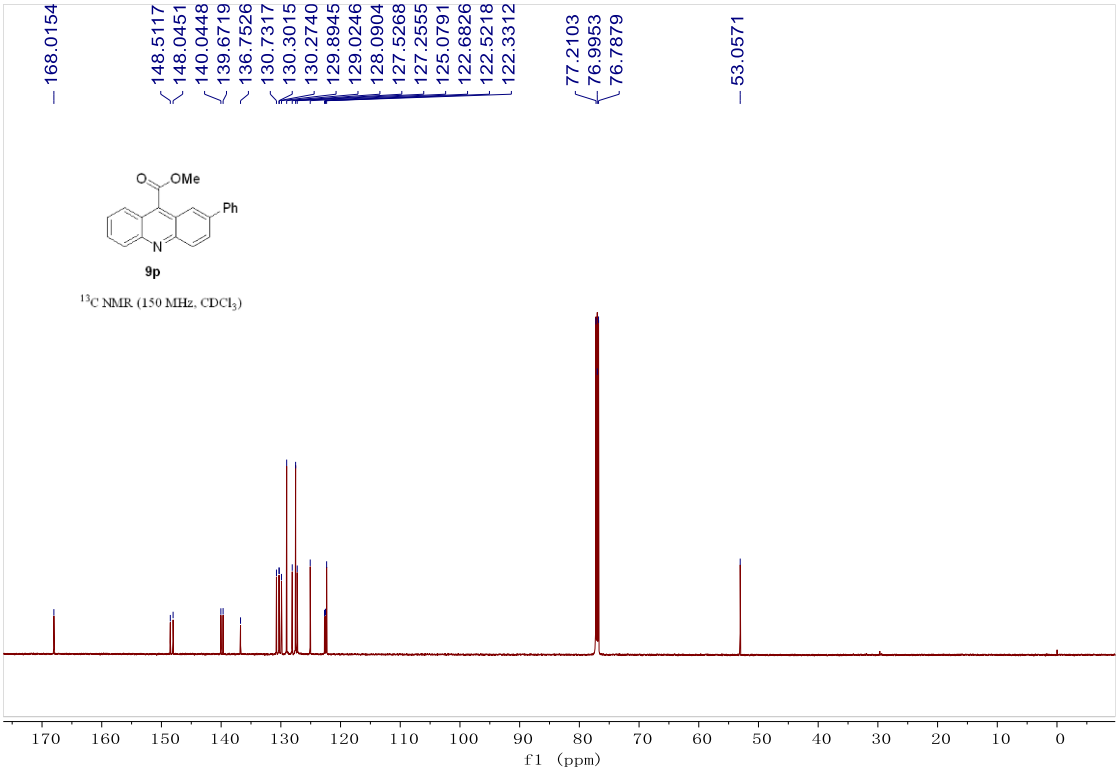
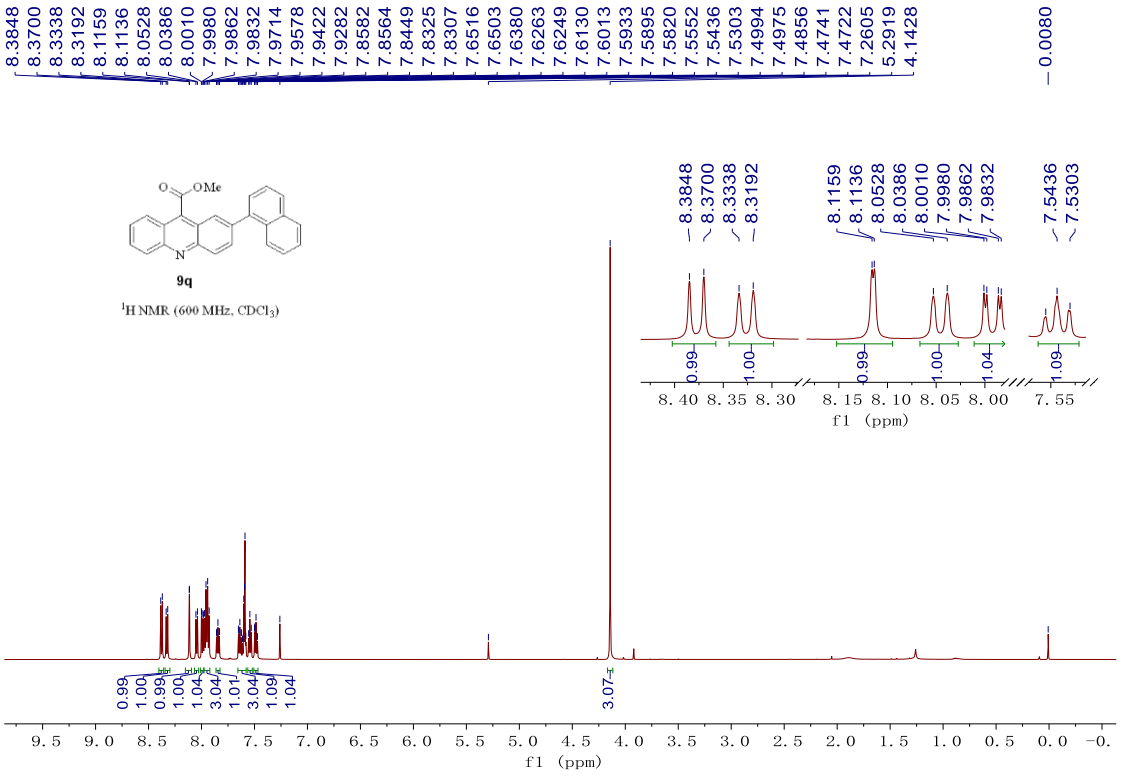
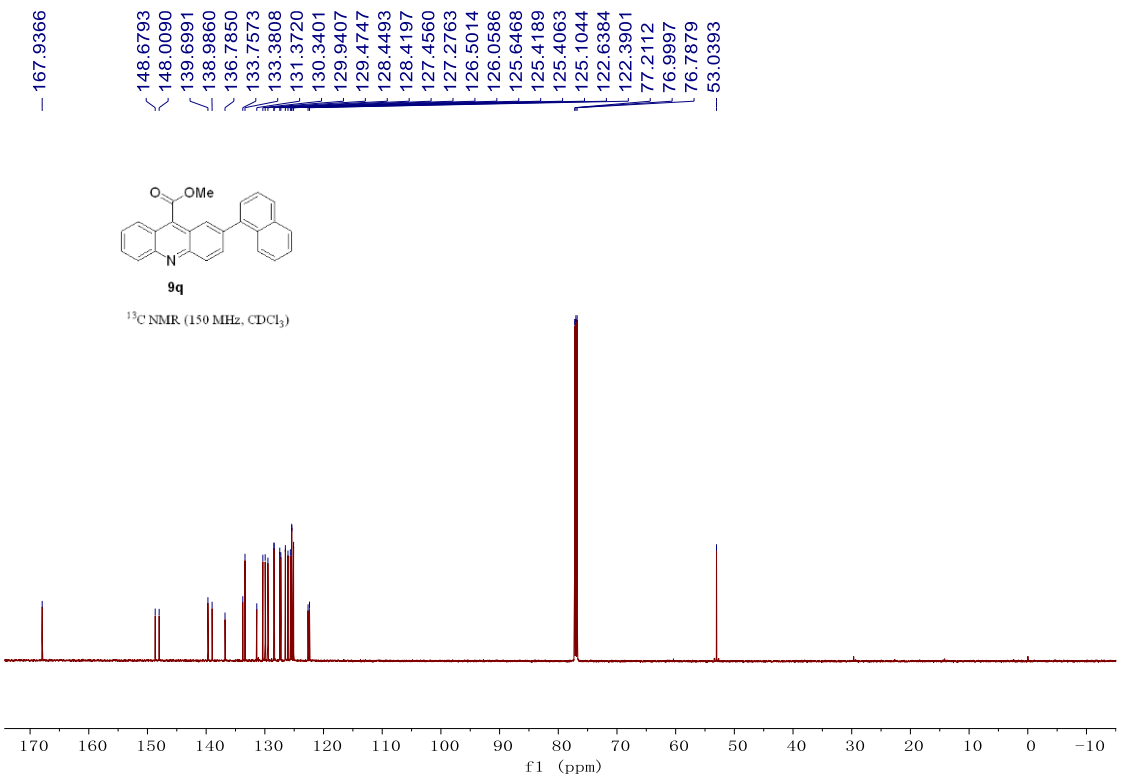
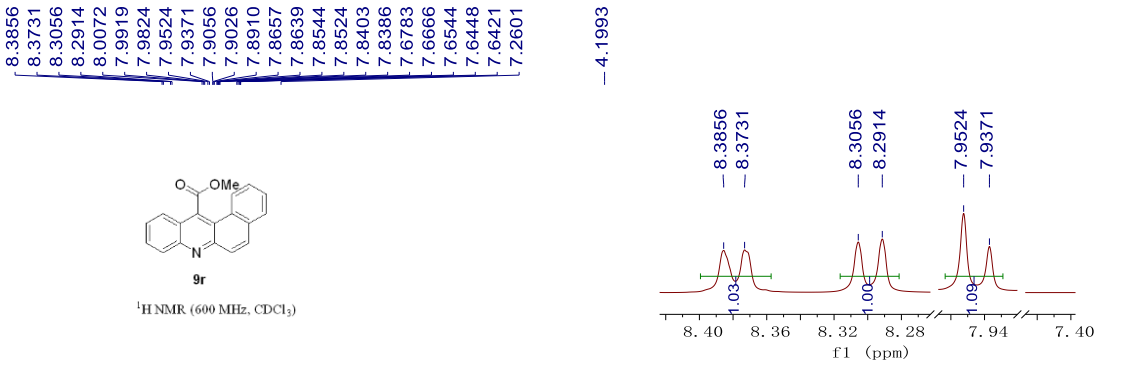
8.2339
8.2055
8.1907
7.9812
7.9668
7.7825
7.7806
7.7716
7.7694
7.7662
7.7570
7.7551
7.7468
7.7438
7.7282
7.5908
7.5894
7.5779
7.5767
7.5654
7.5640
7.2603
4.2160
3.1582
3.1467
3.1352
3.1238
3.1123
1.3742
1.3627
-0.0060
OMe
i-Pr
N
9o
1H NMR (600 MHz, CDCl3)
0.93
0.95
0.93
3.00
1.00
3.07
1.01
6.03
f1 (ppm)
168.2254
148.0172
148.0074
147.6276
135.9629
130.7471
129.8094
129.7555
126.9303
124.9492
122.4346
122.4145
120.3858
77.2113
76.9997
76.7879
52.9136
34.4799
23.4121
13C NMR (150 MHz, CDCl3)

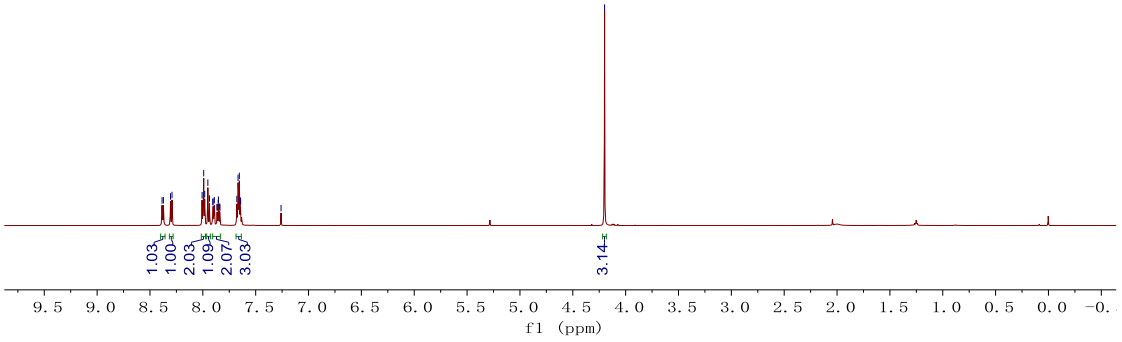

8.3444
8.3294
8.2826
8.2680
8.1567
8.1541
8.1077
8.1048
8.0926
8.0897
8.0246
8.0102
7.8258
7.8144
7.8003
7.7616
7.7485
7.6294
7.6168
7.6040
7.5428
7.5300
7.5174
7.4538
7.4414
7.4292
7.2600
4.2275
-0.0010
OMe
Ph
N
9p
^{1}H NMR (600 MHz, CDCl$_3$)
1.00
1.01
0.99
1.00
1.01
1.06
2.06
1.08
2.04
1.06
3.07
f1 (ppm)

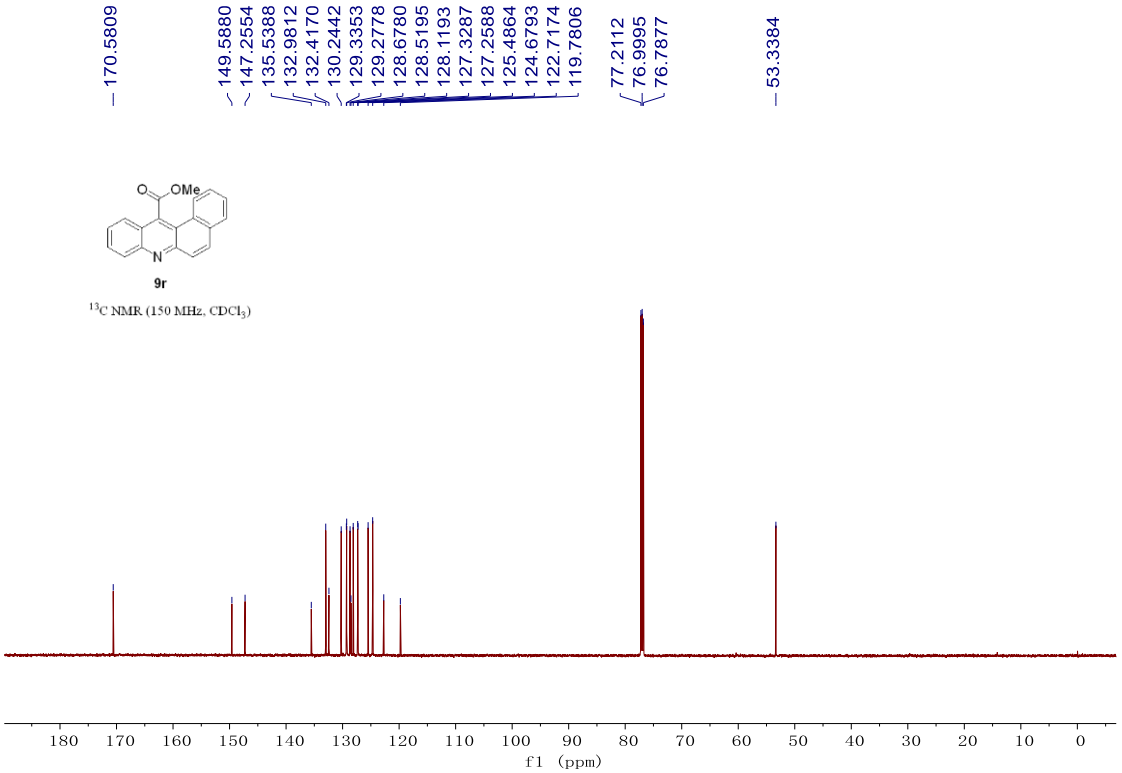

168.0154
148.5117
148.0451
140.0448
139.6719
136.7526
130.7317
130.3015
130.2740
129.8945
129.0246
128.0904
127.5268
127.2555
125.0791
122.6826
122.5218
122.3312
77.2103
76.9953
76.7879
53.0571
OMe
Ph
N
9p
^{13}C NMR (150 MHz, CDCl$_3$)
f1 (ppm)

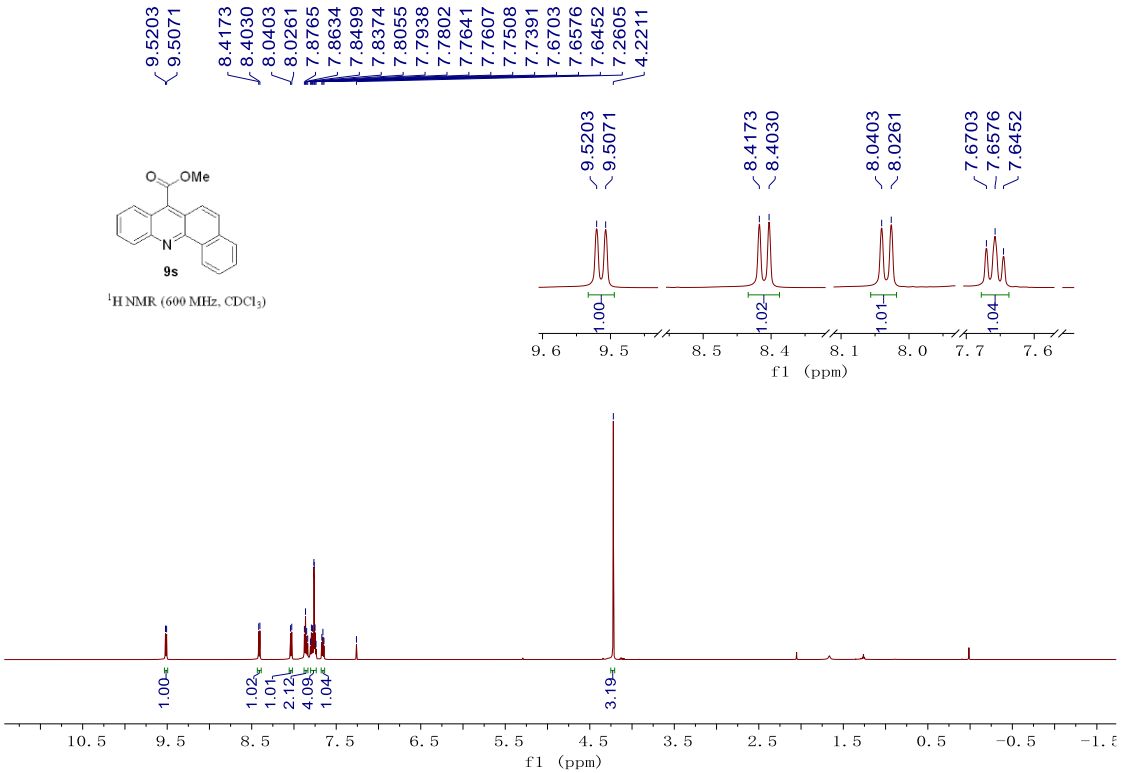

8.3848
8.3700
8.3338
8.3192
8.1159
8.1136
8.0528
8.0386
8.0010
7.9980
7.9862
7.9832
7.9714
7.9578
7.9422
7.9282
7.8582
7.8564
7.8449
7.8325
7.8307
7.6516
7.6503
7.6380
7.6263
7.6249
7.6130
7.6013
7.5933
7.5895
7.5820
7.5552
7.5436
7.5303
7.4994
7.4975
7.4856
7.4741
7.4722
7.2605
5.2919
4.1428
0.0080
OMe
N
9q
1H NMR (600 MHz, CDCl3)
0.99
1.00
0.99
1.00
1.04
3.04
1.01
3.04
1.09
1.04
3.07
f1 (ppm)

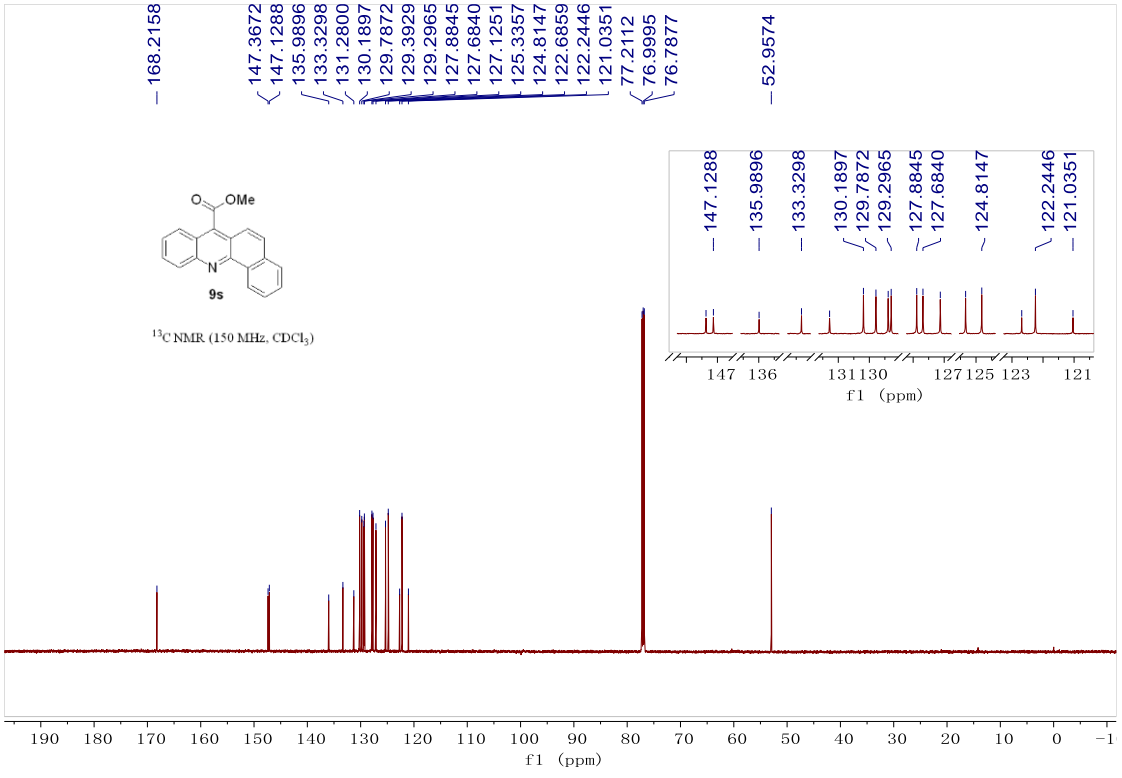

167.9366
148.6793
148.0090
139.6991
138.9860
136.7850
133.7573
133.3808
131.3720
130.3401
129.9407
129.4747
128.4493
128.4197
127.4560
127.2763
126.5014
126.0586
125.6468
125.4189
125.4063
125.1044
122.6384
122.3901
77.2112
76.9997
76.7879
53.0393
OMe
N
9q
13C NMR (150 MHz, CDCl3)
f1 (ppm)

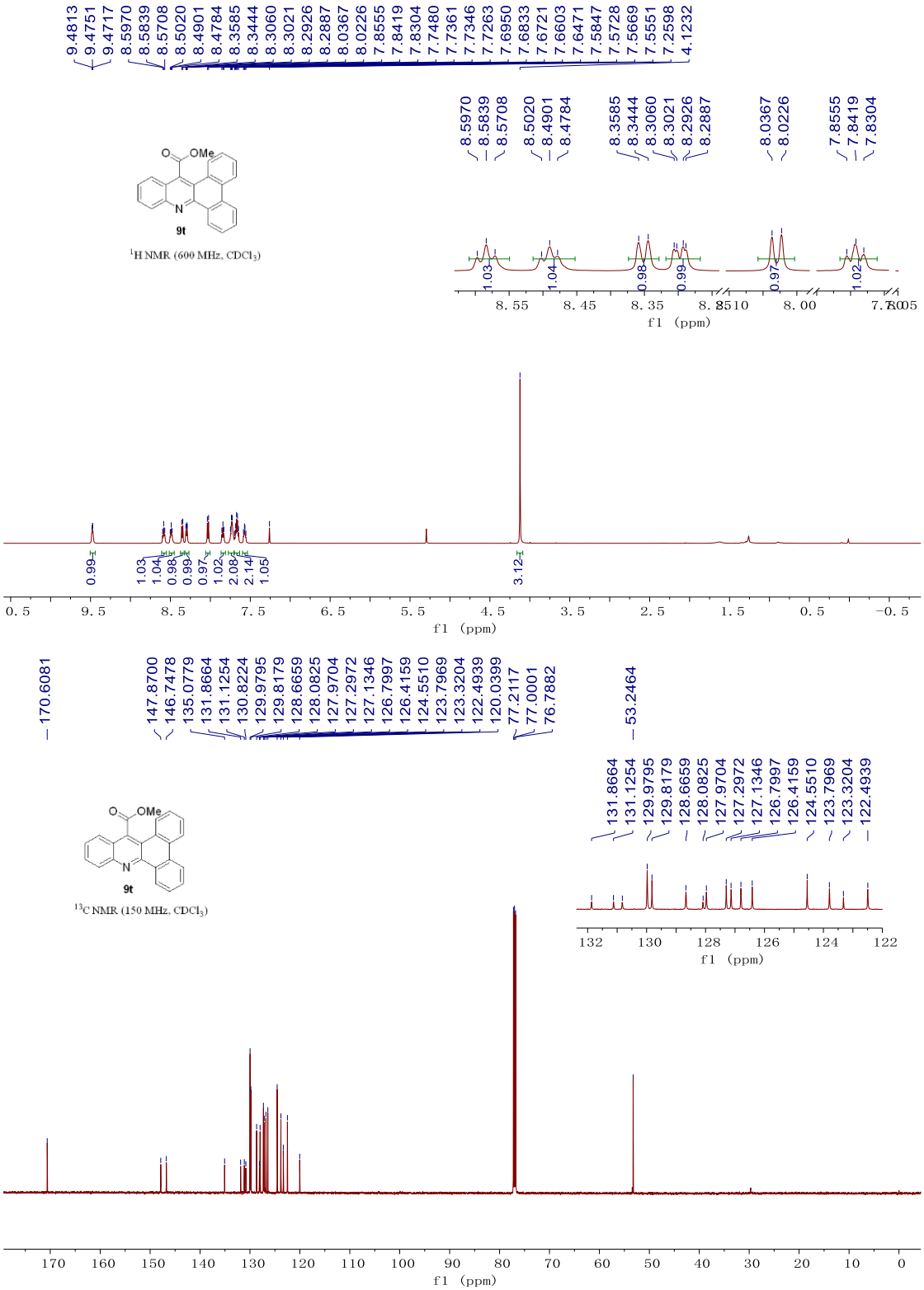

8.3856
8.3731
8.3056
8.2914
8.0072
7.9919
7.9824
7.9524
7.9371
7.9056
7.9026
7.8910
7.8657
7.8639
7.8544
7.8524
7.8403
7.8386
7.6783
7.6666
7.6544
7.6448
7.6421
7.2601
4.1993
OMe
O
N
9r
1H NMR (600 MHz, CDCl3)
8.3856
8.3731
8.3056
8.2914
7.9524
7.9371
1.03
1.00
1.09
8.40
8.36
8.32
8.28
7.94
7.40
f1 (ppm)

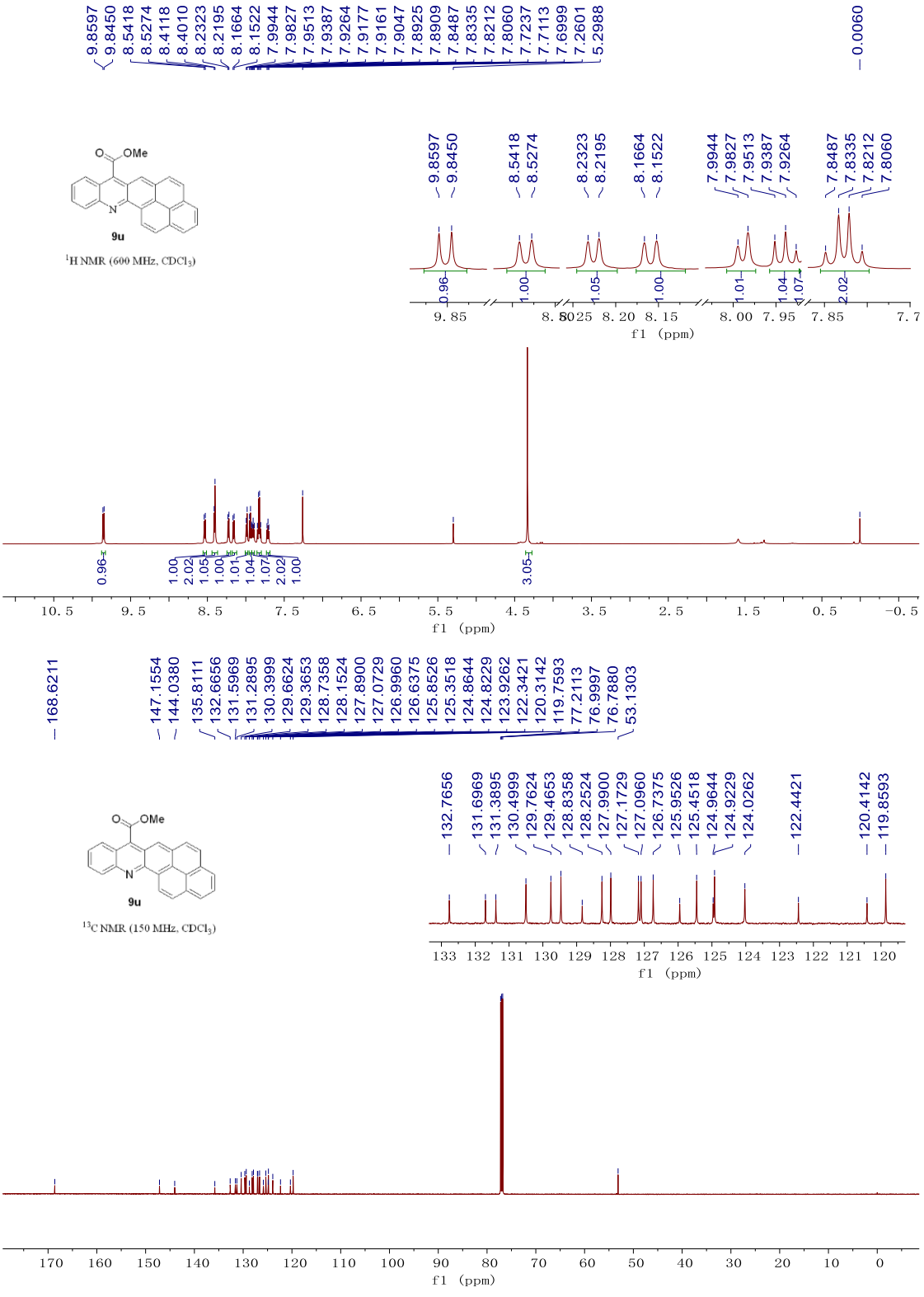

1.03
1.00
2.03
1.09
2.07
3.03
3.14
9.5
9.0
8.5
8.0
7.5
7.0
6.5
6.0
5.5
5.0
4.5
4.0
3.5
3.0
2.5
2.0
1.5
1.0
0.5
0.0
f1 (ppm)

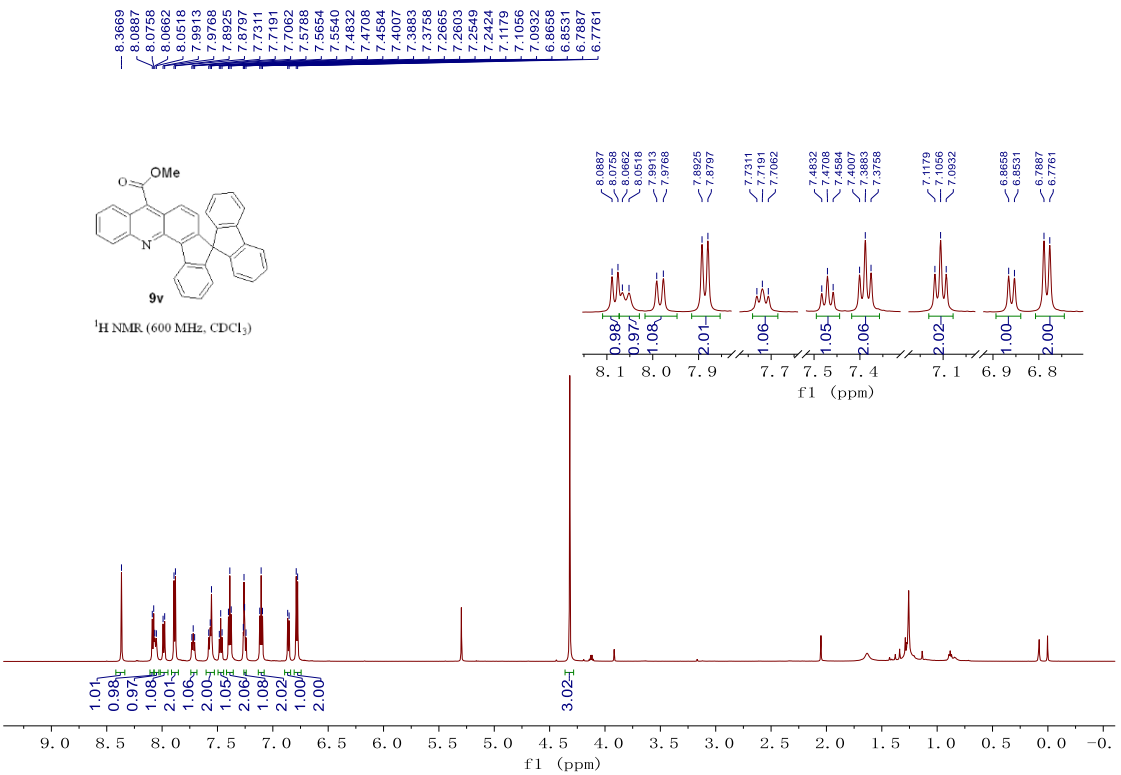

170.5809
149.5880
147.2554
135.5388
132.9812
132.4170
130.2442
129.3353
129.2778
128.6780
128.5195
128.1193
127.3287
127.2588
125.4864
124.6793
122.7174
119.7806
77.2112
76.9995
76.7877
53.3384
OMe
O
N
9r
13C NMR (150 MHz, CDCl3)
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
f1 (ppm)


9.5203
9.5071
8.4173
8.4030
8.0403
8.0261
7.8765
7.8634
7.8499
7.8374
7.8055
7.7938
7.7802
7.7641
7.7607
7.7508
7.7391
7.6703
7.6576
7.6452
7.2605
4.2211
O
OMe
N
9s
1H NMR (600 MHz, CDCl3)
1.00
1.02
1.01
1.04
3.19
f1 (ppm)

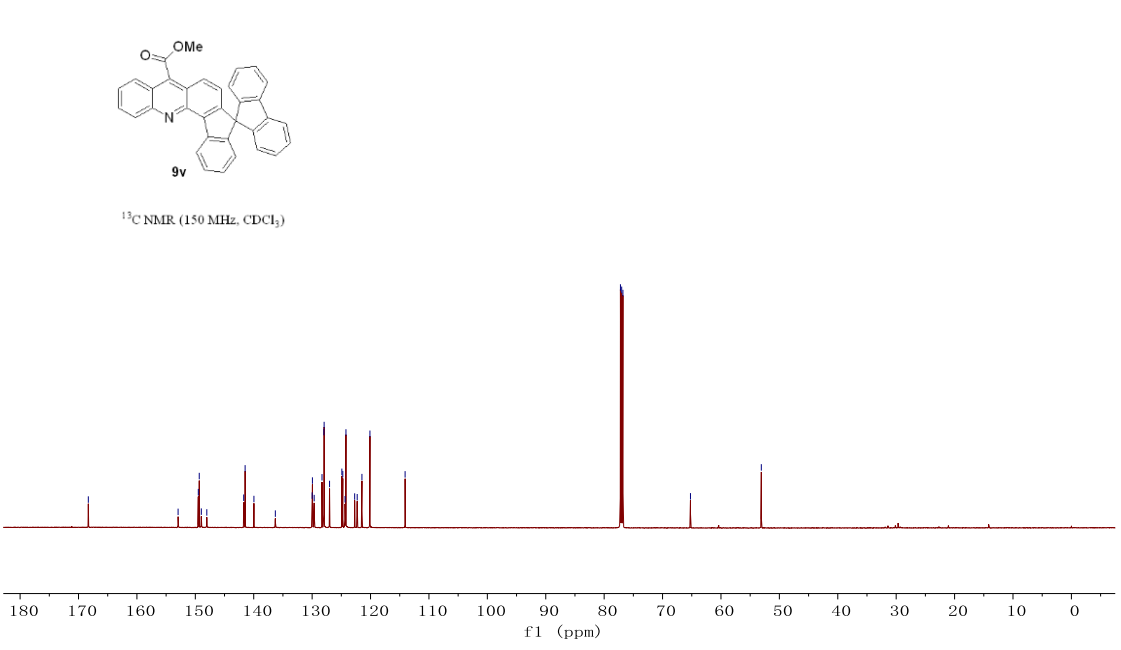

168.2158
147.3672
147.1288
135.9896
133.3298
131.2800
130.1897
129.7872
129.3929
129.2965
127.8845
127.6840
127.1251
125.3357
124.8147
122.6859
122.2446
121.0351
77.2112
76.9995
76.7877
52.9574
O
OMe
N
9s
13C NMR (150 MHz, CDCl3)
f1 (ppm)


9t
^{1}H NMR (600 MHz, CDCl$_3$)
f1 (ppm)
9t
^{13}C NMR (150 MHz, CDCl$_3$)
f1 (ppm)
f1 (ppm)

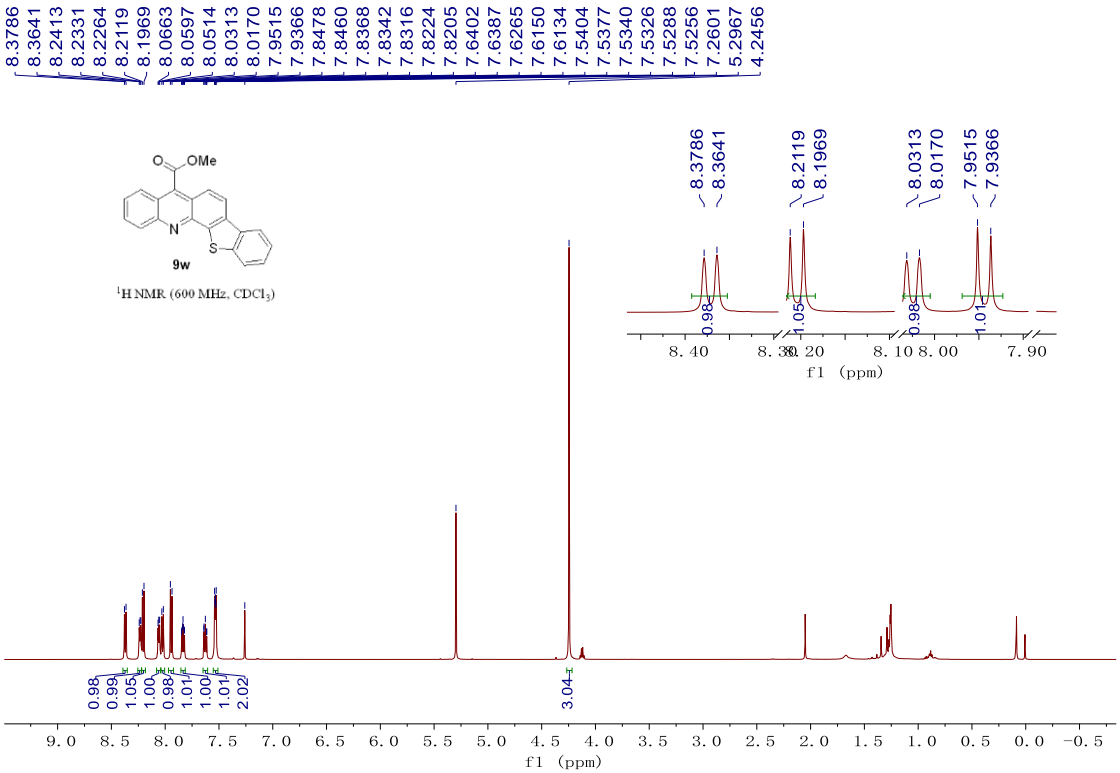

9.8597
9.8450
8.5418
8.5274
8.4118
8.4010
8.2323
8.2195
8.1664
8.1522
7.9944
7.9827
7.9513
7.9387
7.9264
7.9177
7.9161
7.9047
7.8925
7.8909
7.8487
7.8335
7.8212
7.8060
7.7237
7.7113
7.6999
7.2601
5.2988
0.0060
OMe
N
9u
^{1}H NMR (600 MHz, CDCl$_3$)
0.96
1.00
1.05
1.00
1.01
1.04
1.07
2.02
1.00
3.05
f1 (ppm)
168.6211
147.1554
144.0380
135.8111
132.6656
131.5969
131.2895
130.3999
129.6624
129.3653
128.7358
128.1524
127.8900
127.0729
126.9960
126.6375
125.8526
125.3518
124.8644
124.8229
123.9262
122.3421
120.3142
119.7593
77.2113
76.9997
76.7880
53.1303
132.7656
131.6969
131.3895
130.4999
129.7624
129.4653
128.8358
128.2524
127.9900
127.1729
127.0960
126.7375
125.9526
125.4518
124.9644
124.9229
124.0262
122.4421
120.4142
119.8593
^{13}C NMR (150 MHz, CDCl$_3$)
f1 (ppm)

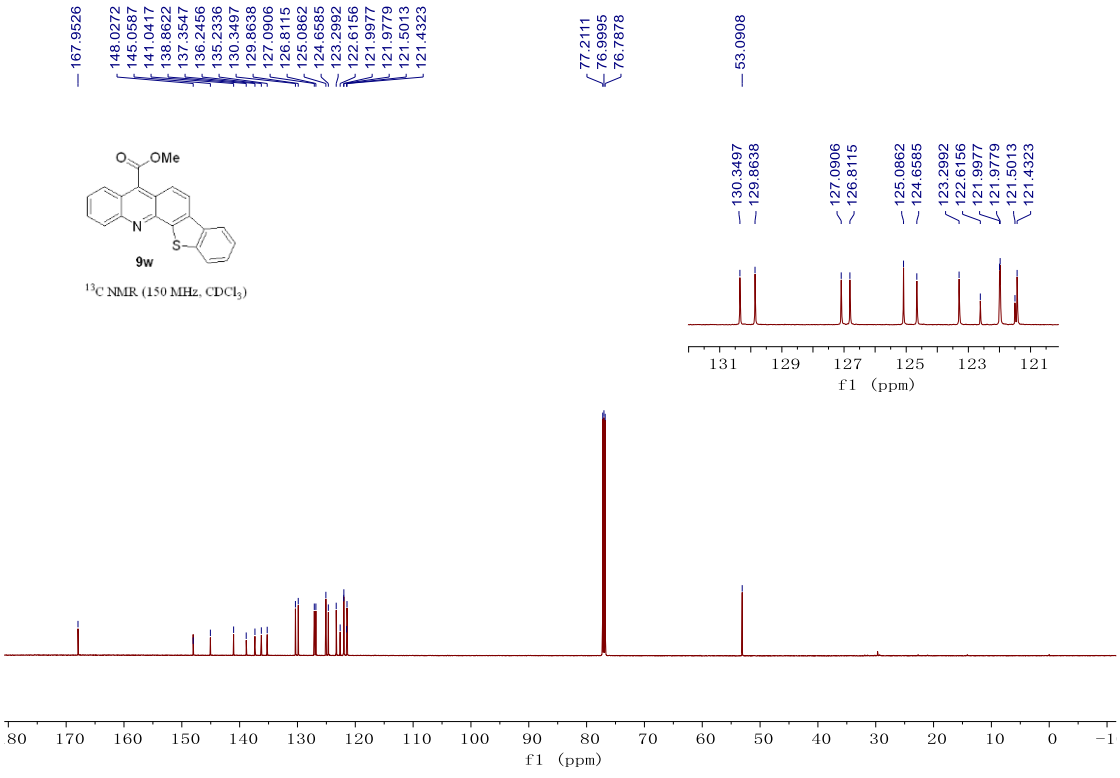


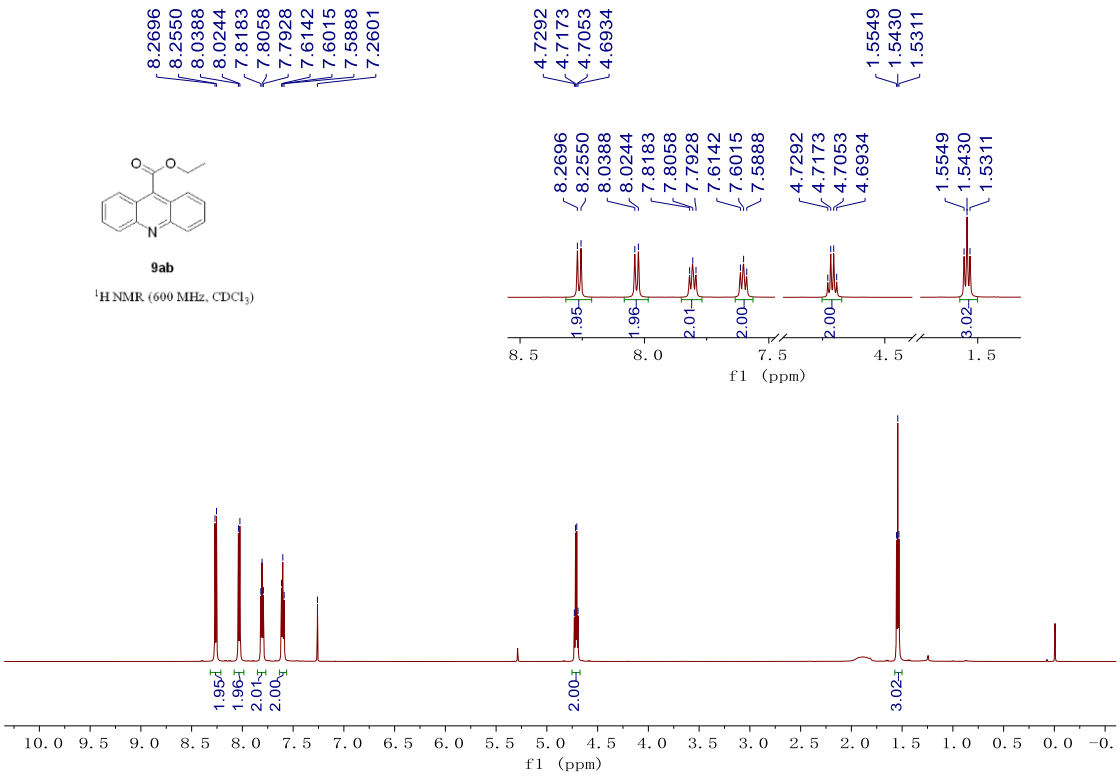
^{1}H NMR (600 MHz, $CDCl_3$)



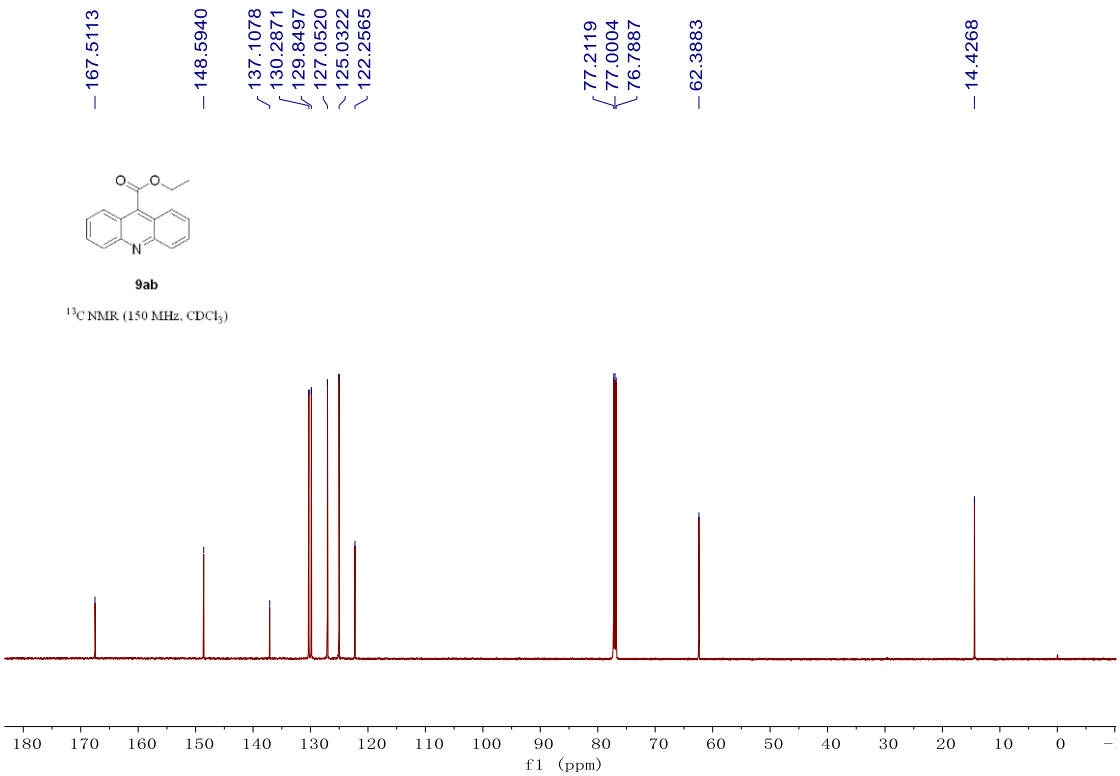
^{13}C NMR (150 MHz, $CDCl_3$)

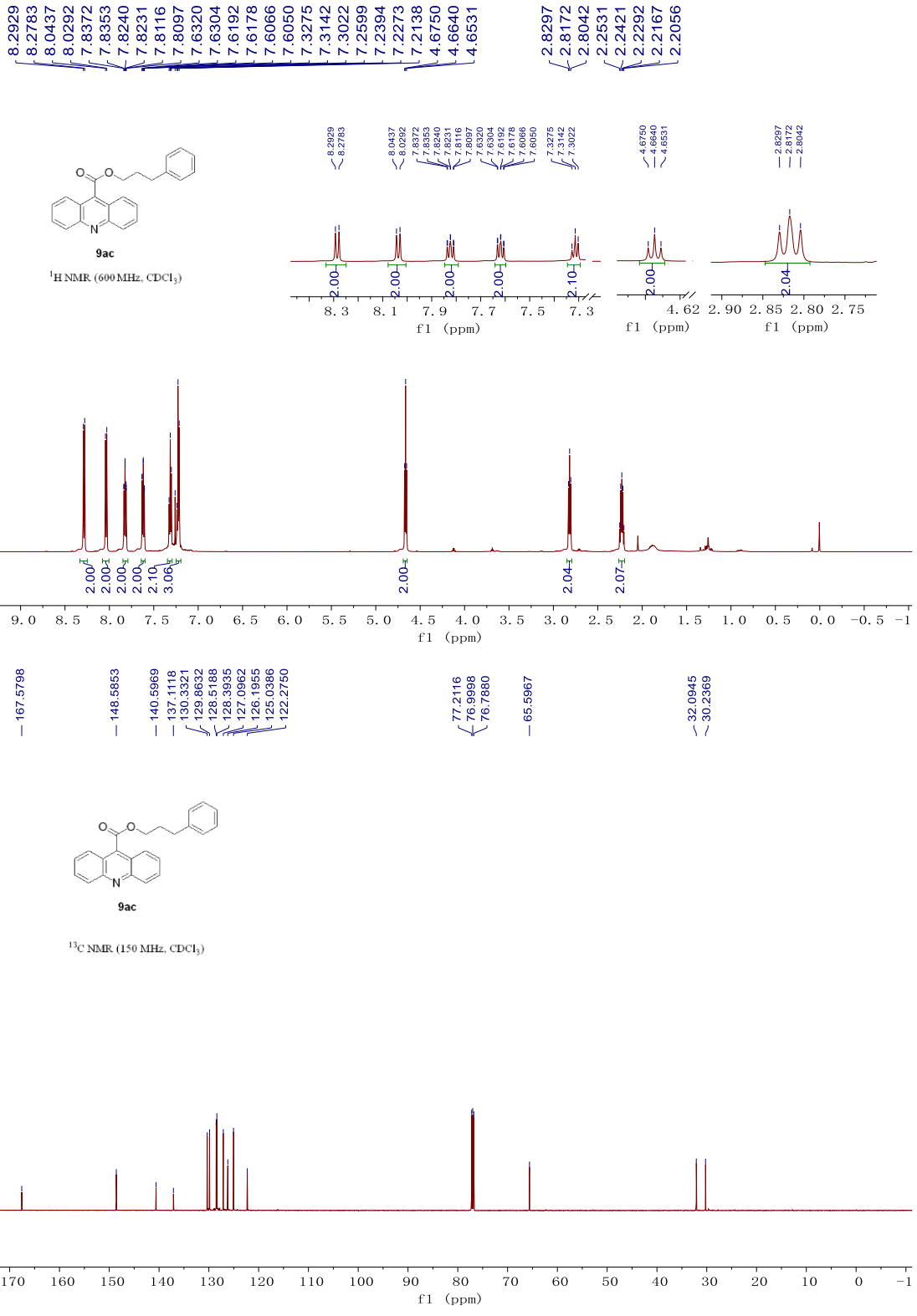

8.3786
8.3641
8.2413
8.2331
8.2264
8.2119
8.1969
8.0663
8.0597
8.0514
8.0313
8.0170
7.9515
7.9366
7.8478
7.8460
7.8368
7.8342
7.8316
7.8224
7.8205
7.6402
7.6387
7.6265
7.6150
7.6134
7.5404
7.5377
7.5340
7.5326
7.5288
7.5256
7.2601
5.2967
4.2456
OMe
N
S
9w
1H NMR (600 MHz, CDCl3)
f1 (ppm)
0.98
0.99
1.05
1.00
0.98
1.01
1.00
1.01
2.02
3.04

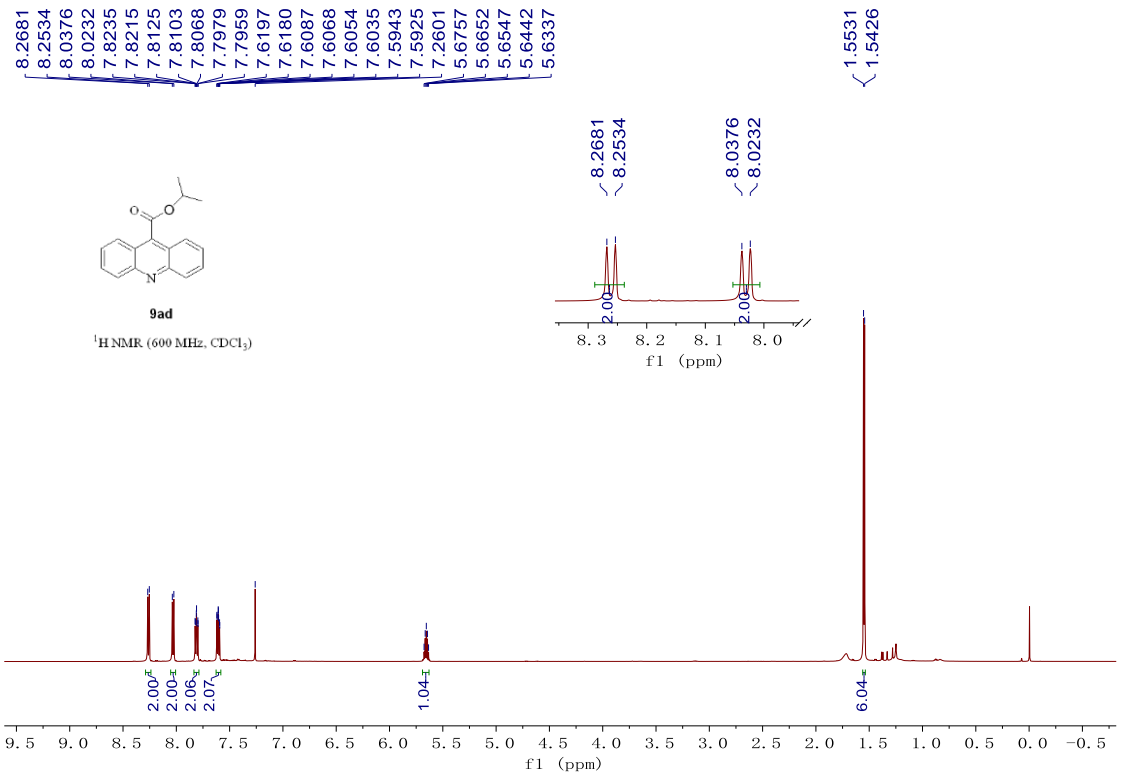

167.9526
148.0272
145.0587
141.0417
138.8622
137.3547
136.2456
135.2336
130.3497
129.8638
127.0906
126.8115
125.0862
124.6585
123.2992
122.6156
121.9977
121.9779
121.5013
121.4323
77.2111
76.9995
76.7878
53.0908
OMe
N
S
9w
13C NMR (150 MHz, CDCl3)
f1 (ppm)

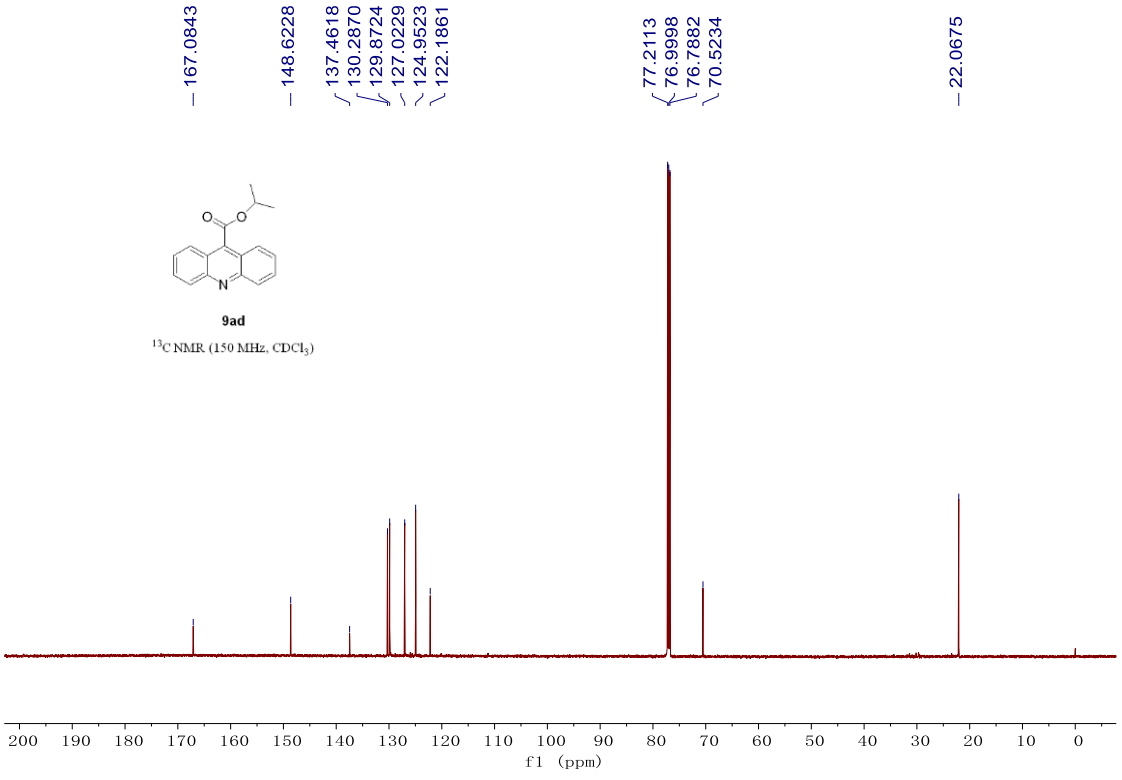

8.2696
8.2550
8.0388
8.0244
7.8183
7.8058
7.7928
7.6142
7.6015
7.5888
7.2601
4.7292
4.7173
4.7053
4.6934
1.5549
1.5430
1.5311
9ab
^{1}H NMR (600 MHz, CDCl$_3$)
1.95
1.96
2.01
2.00
2.00
3.02
f1 (ppm)

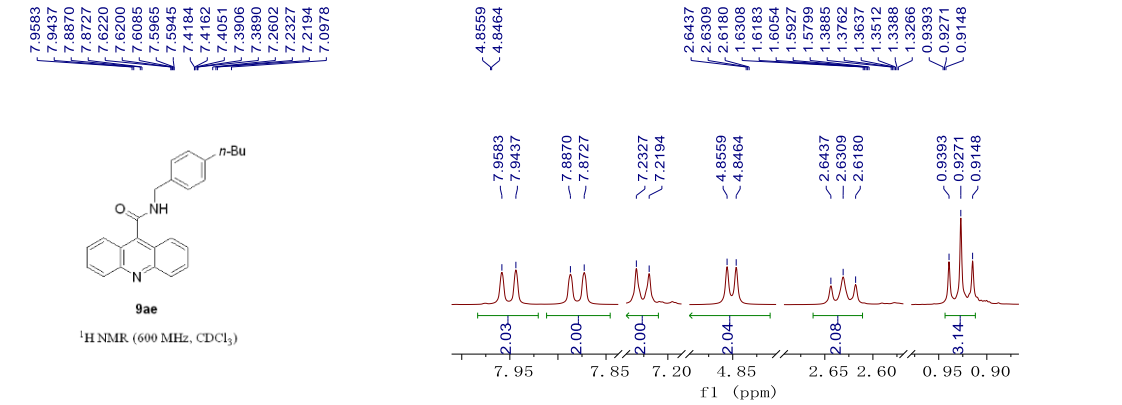
1.95
1.96
2.01
2.00
2.00
3.02
f1 (ppm)

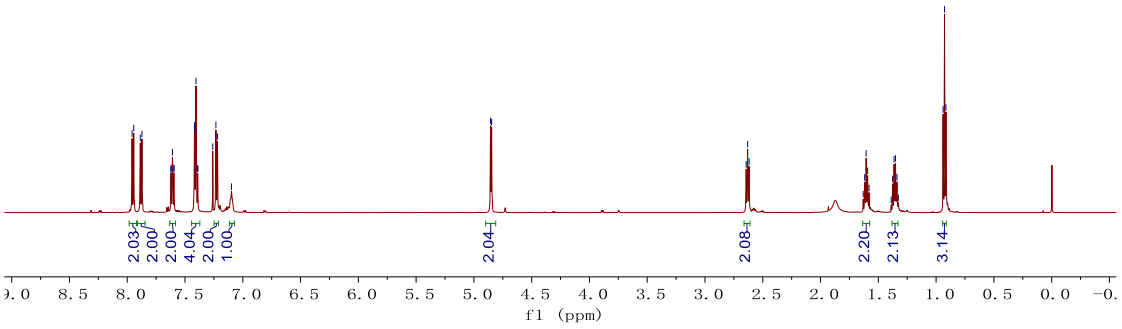

167.5113
148.5940
137.1078
130.2871
129.8497
127.0520
125.0322
122.2565
77.2119
77.0004
76.7887
62.3883
14.4268
9ab
^{13}C NMR (150 MHz, CDCl$_3$)
f1 (ppm)

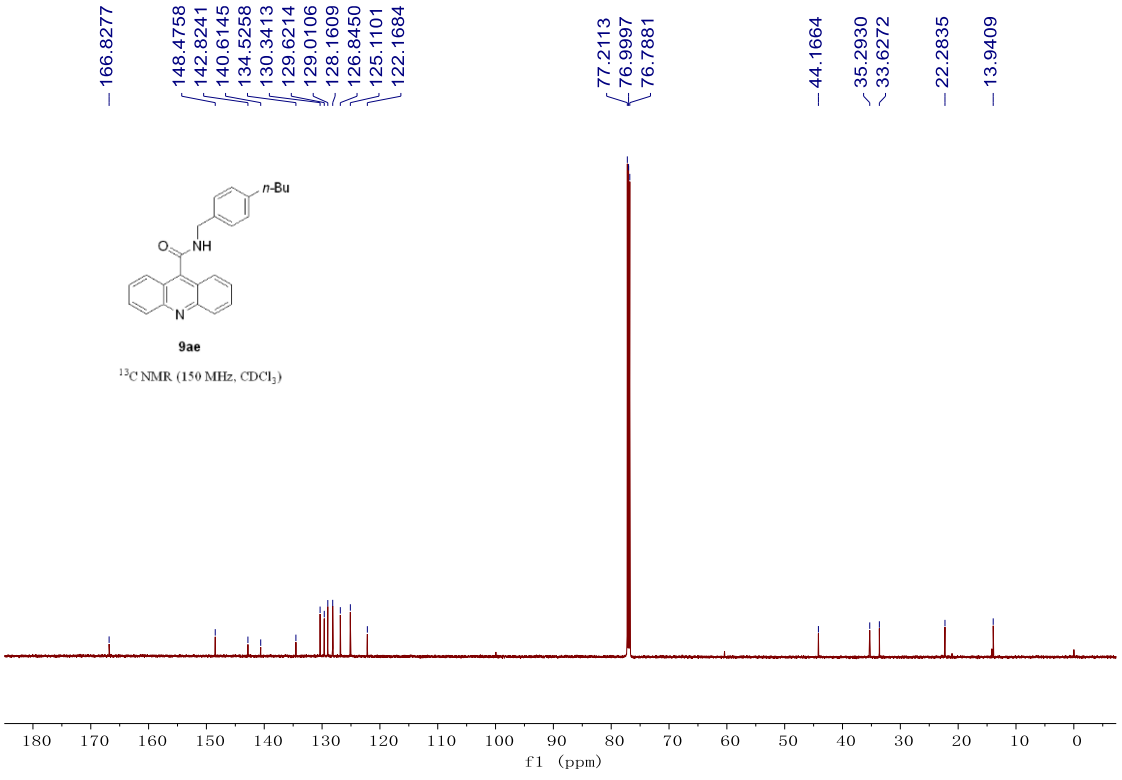

8.2929
8.2783
8.0437
8.0292
7.8372
7.8353
7.8240
7.8231
7.8116
7.8097
7.6320
7.6304
7.6192
7.6178
7.6066
7.6050
7.3275
7.3142
7.3022
7.2599
7.2394
7.2273
7.2138
4.6750
4.6640
4.6531
2.8297
2.8172
2.8042
2.2531
2.2421
2.2292
2.2167
2.2056
9ac
1H NMR (600 MHz, CDCl3)
f1 (ppm)
9ac
13C NMR (150 MHz, CDCl3)
f1 (ppm)

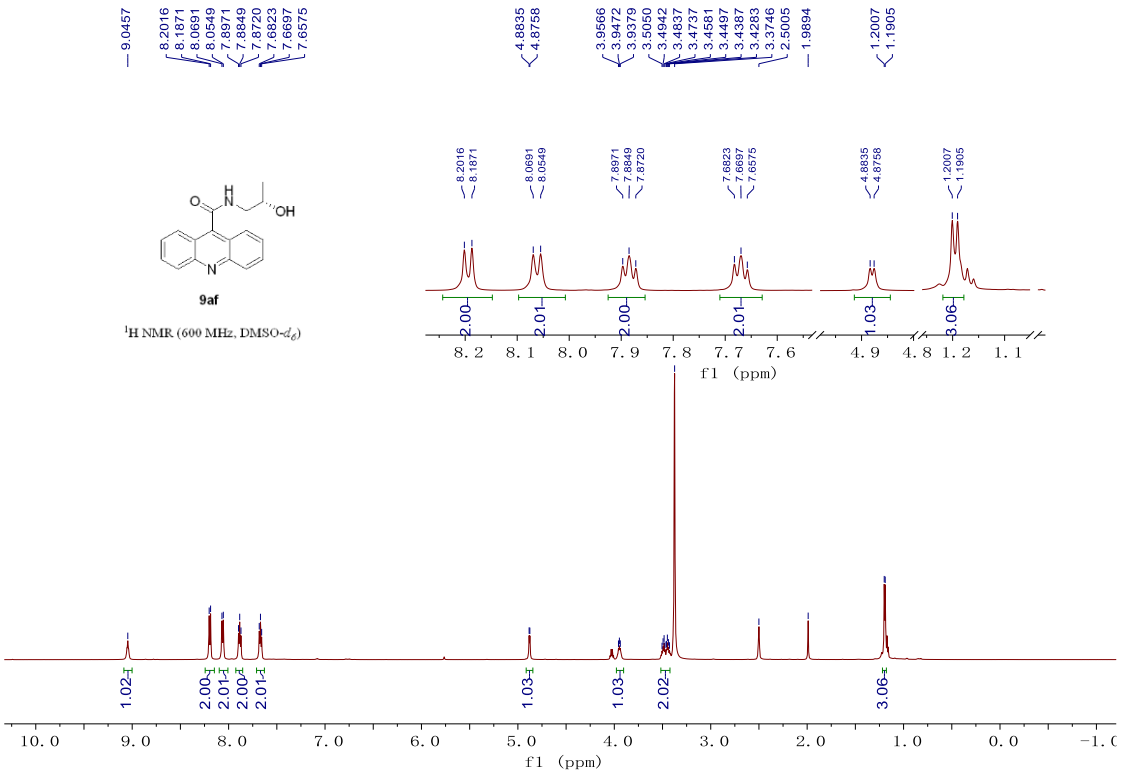

8.2681
8.2534
8.0376
8.0232
7.8235
7.8215
7.8125
7.8103
7.8068
7.7979
7.7959
7.6197
7.6180
7.6087
7.6068
7.6054
7.6035
7.5943
7.5925
7.2601
5.6757
5.6652
5.6547
5.6442
5.6337
1.5531
1.5426
9ad
1H NMR (600 MHz, CDCl3)
f1 (ppm)
2.00
2.00
2.06
2.07
1.04
6.04

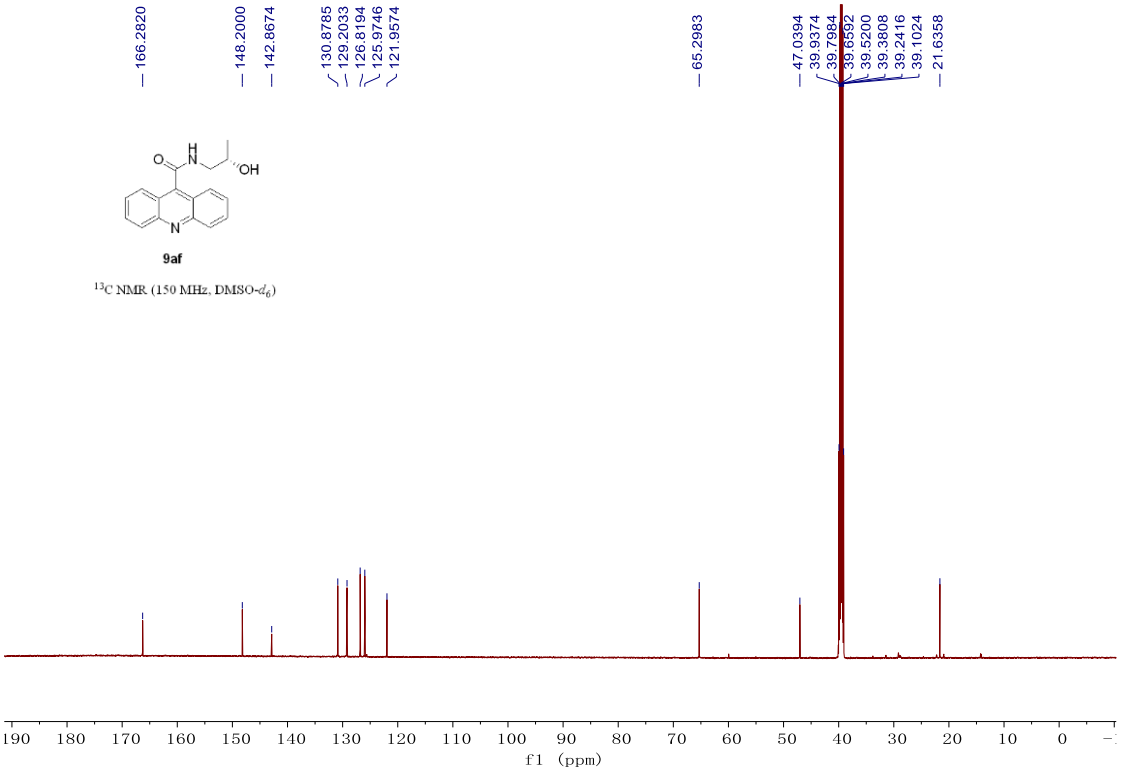

167.0843
148.6228
137.4618
130.2870
129.8724
127.0229
124.9523
122.1861
77.2113
76.9998
76.7882
70.5234
22.0675
9ad
13C NMR (150 MHz, CDCl3)
f1 (ppm)

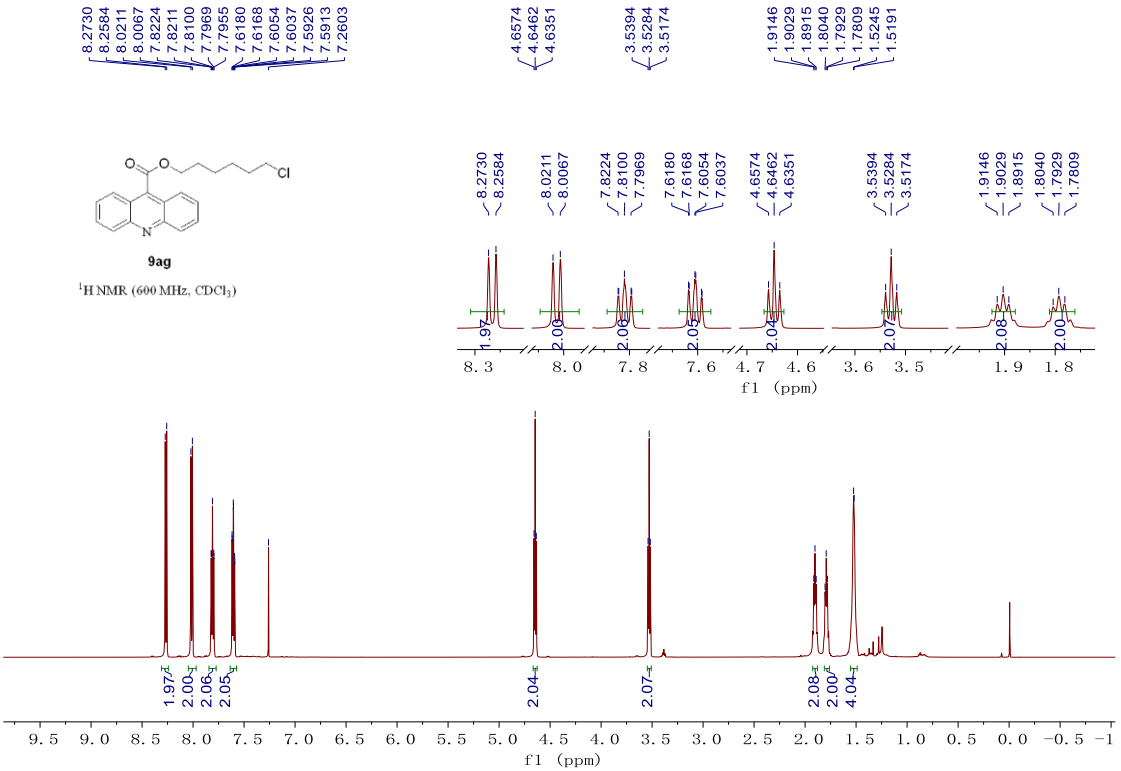

7.9583
7.9437
7.8870
7.8727
7.6220
7.6200
7.6085
7.5965
7.5945
7.4184
7.4162
7.4051
7.3906
7.3890
7.2602
7.2327
7.2194
7.0978
4.8559
4.8464
2.6437
2.6309
2.6180
1.6308
1.6183
1.6054
1.5927
1.5799
1.3885
1.3762
1.3637
1.3512
1.3388
1.3266
0.9393
0.9271
0.9148
n-Bu
NH
O
N
9ae
^{1}H NMR (600 MHz, CDCl$_3$)
2.03
2.00
2.00
2.04
2.08
3.14
7.95
7.85
7.20
4.85
2.65
2.60
0.95
0.90
f1 (ppm)

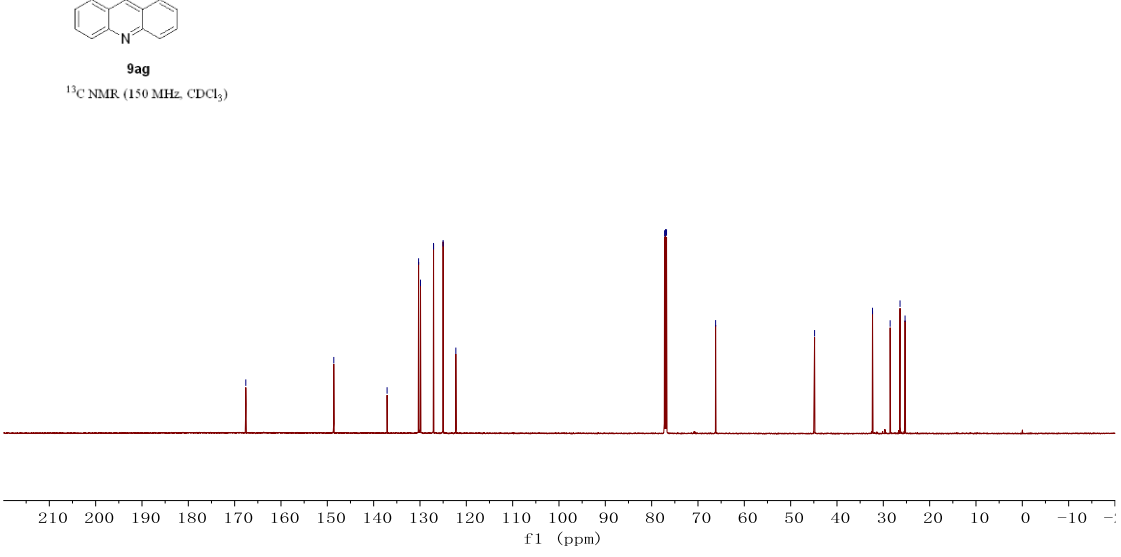

2.03
2.00
2.00
4.04
2.00
1.00
2.04
2.08
2.20
2.13
3.14
f1 (ppm)

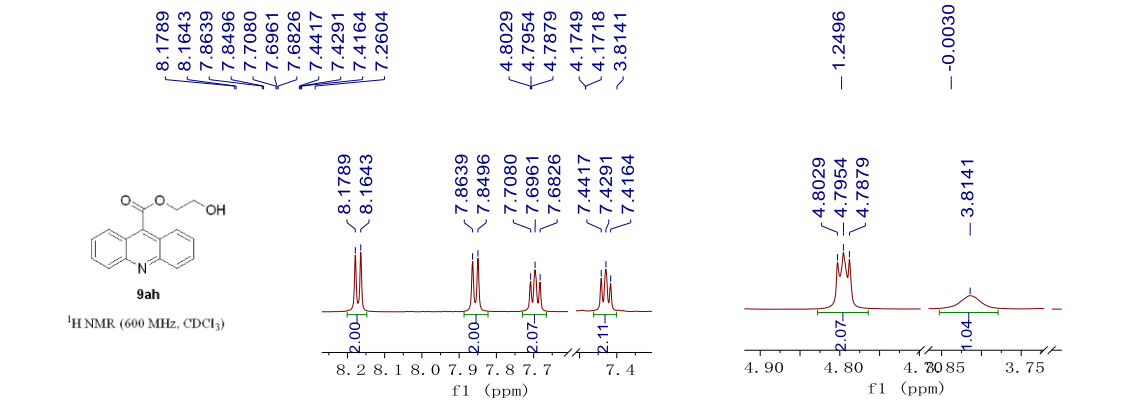

166.8277
148.4758
142.8241
140.6145
134.5258
130.3413
129.6214
129.0106
128.1609
126.8450
125.1101
122.1684
77.2113
76.9997
76.7881
44.1664
35.2930
33.6272
22.2835
13.9409
n-Bu
NH
O
N
9ae
^{13}C NMR (150 MHz, CDCl$_3$)
f1 (ppm)

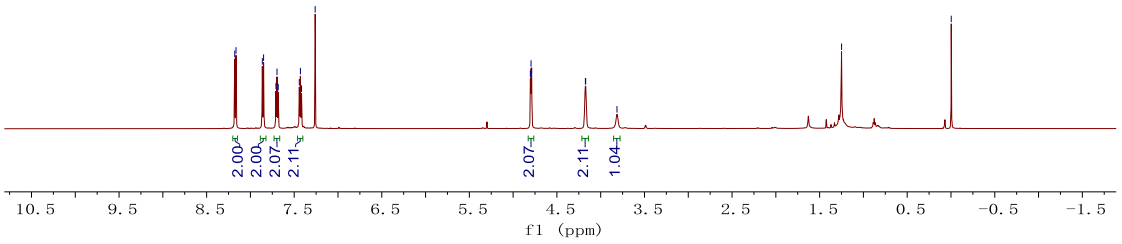

9.0457
8.2016
8.1871
8.0691
8.0549
7.8971
7.8849
7.8720
7.6823
7.6697
7.6575
4.8835
4.8758
3.9566
3.9472
3.9379
3.5050
3.4942
3.4837
3.4737
3.4581
3.4497
3.4387
3.4283
3.3746
2.5005
1.9894
1.2007
1.1905
OH
N
9af
1H NMR (600 MHz, DMSO-d6)
2.00
2.01
2.00
2.01
1.03
3.06
f1 (ppm)
1.02
2.00
2.01
2.00
2.01
1.03
1.03
2.02
3.06
f1 (ppm)

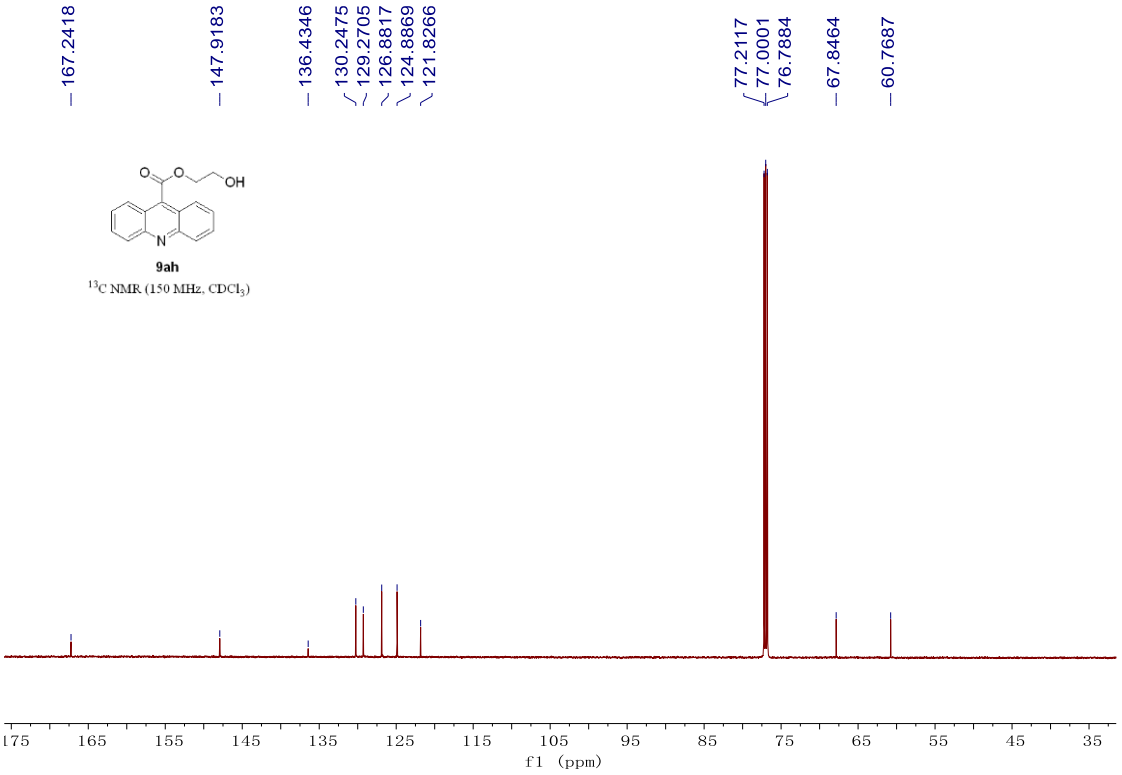

166.2820
148.2000
142.8674
130.8785
129.2033
126.8194
125.9746
121.9574
65.2983
47.0394
39.9374
39.7984
39.6592
39.5200
39.3808
39.2416
39.1024
21.6358
OH
N
9af
13C NMR (150 MHz, DMSO-d6)
f1 (ppm)

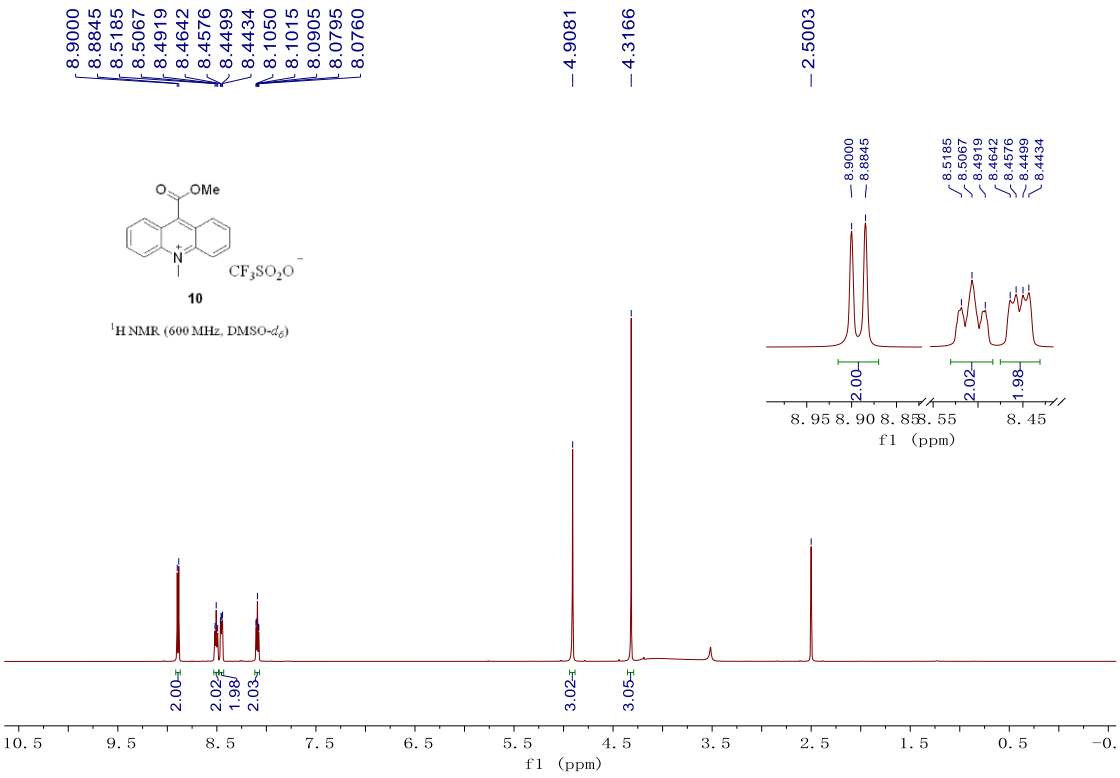

8.2730
8.2584
8.0211
8.0067
7.8224
7.8211
7.8100
7.7969
7.7955
7.6180
7.6168
7.6054
7.6037
7.5926
7.5913
7.2603
4.6574
4.6462
4.6351
3.5394
3.5284
3.5174
1.9146
1.9029
1.8915
1.8040
1.7929
1.7809
1.5245
1.5191
Cl
N
9ag
1H NMR (600 MHz, CDCl3)
1.97
2.00
2.06
2.05
2.04
2.07
2.08
2.00
4.04
f1 (ppm)

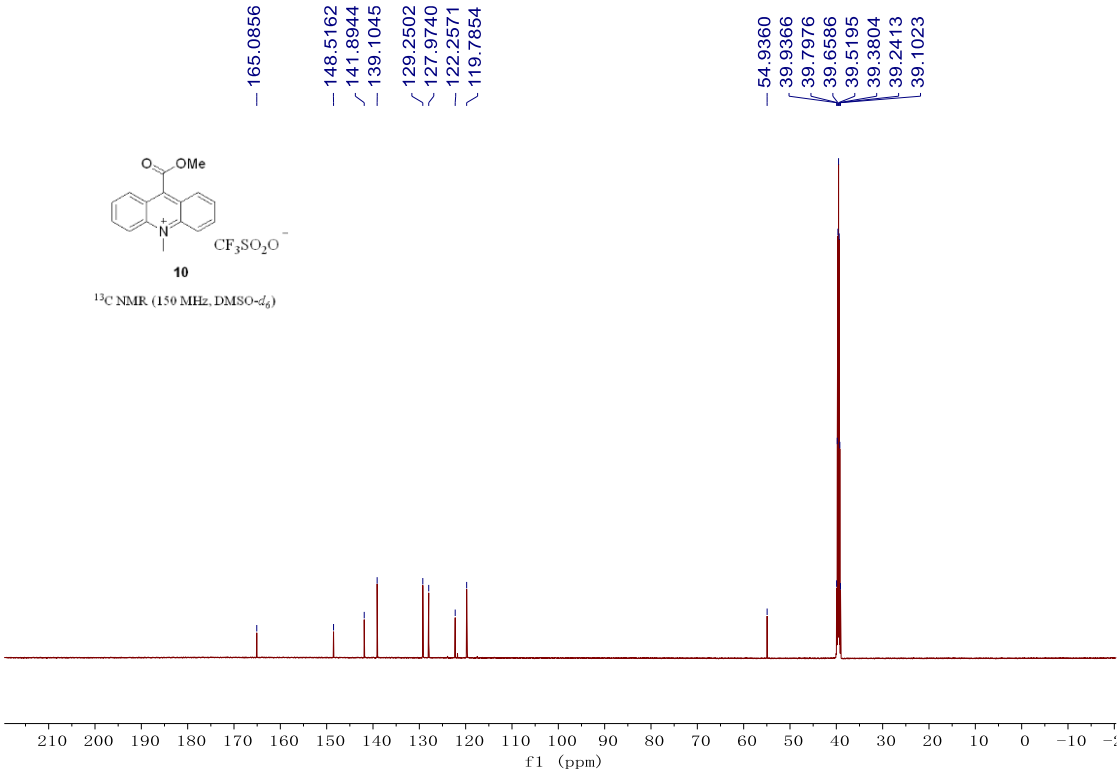

167.5934
148.6045
137.0993
130.3024
129.8910
127.0770
125.0093
122.2609
77.2121
77.0005
76.7887
66.1965
44.8363
32.3302
28.5250
26.3912
25.3045
Cl
N
9ag
13C NMR (150 MHz, CDCl3)
f1 (ppm)

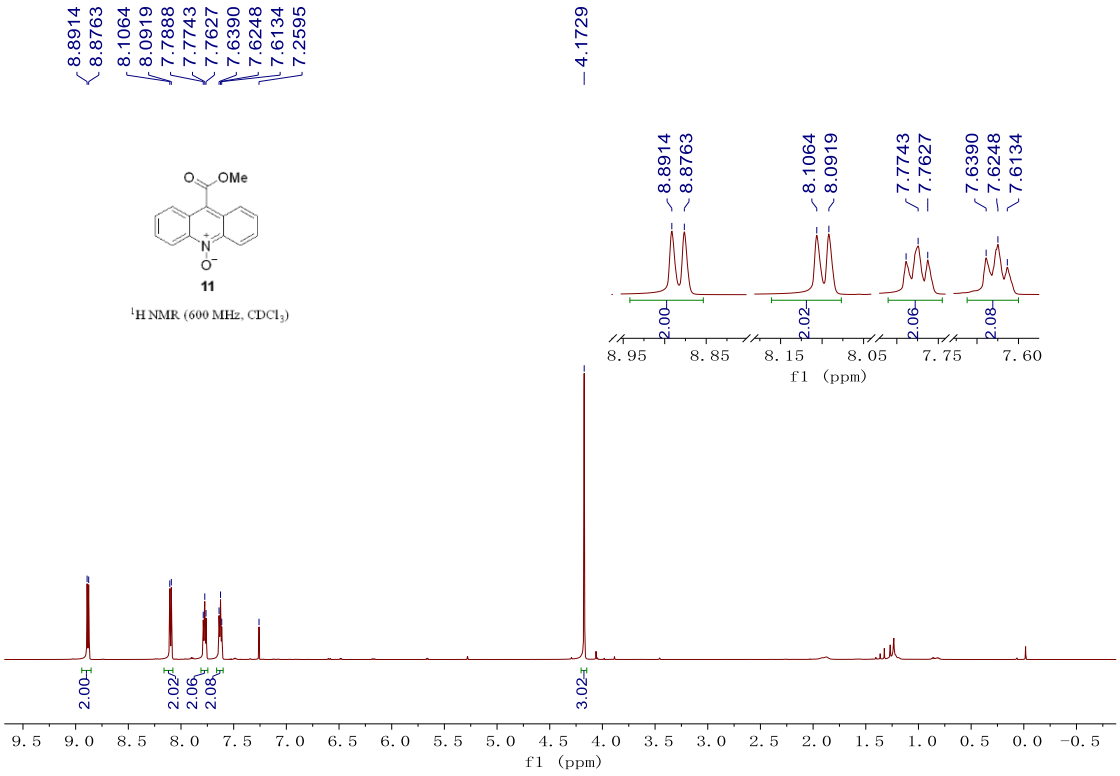

8.1789
8.1643
7.8639
7.8496
7.7080
7.6961
7.6826
7.4417
7.4291
7.4164
7.2604
4.8029
4.7954
4.7879
4.1749
4.1718
3.8141
1.2496
-0.0030
9ah
1H NMR (600 MHz, CDCl3)
f1 (ppm)

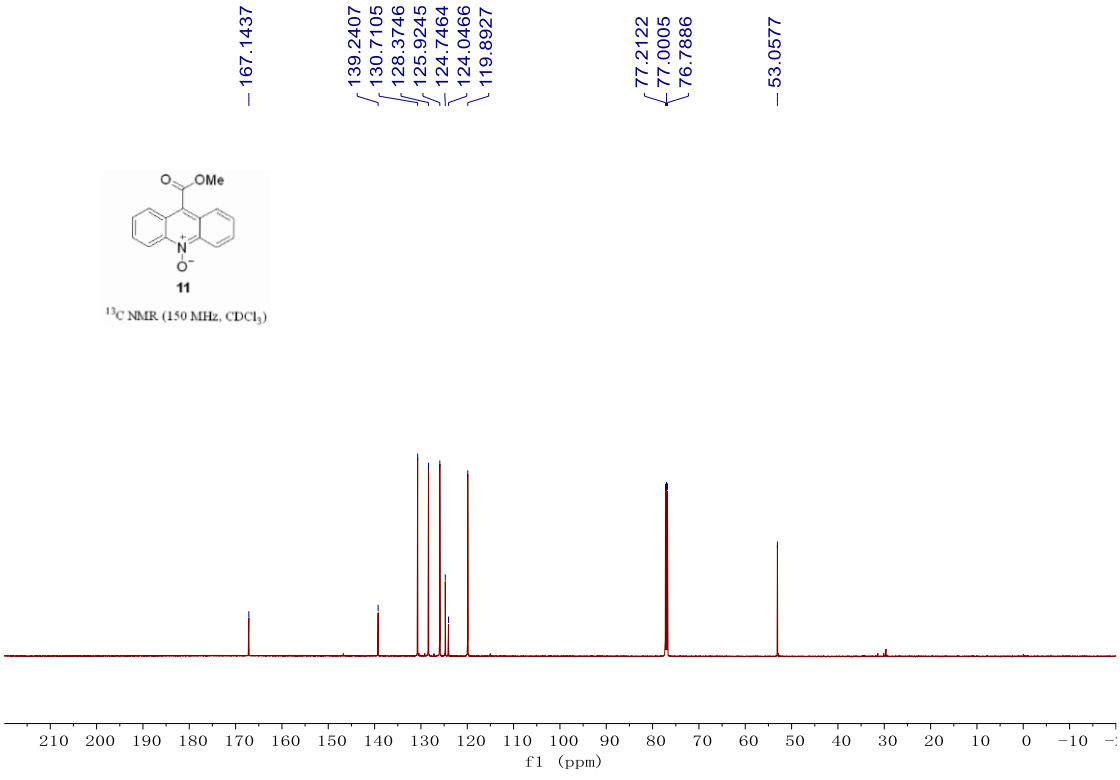

f1 (ppm)

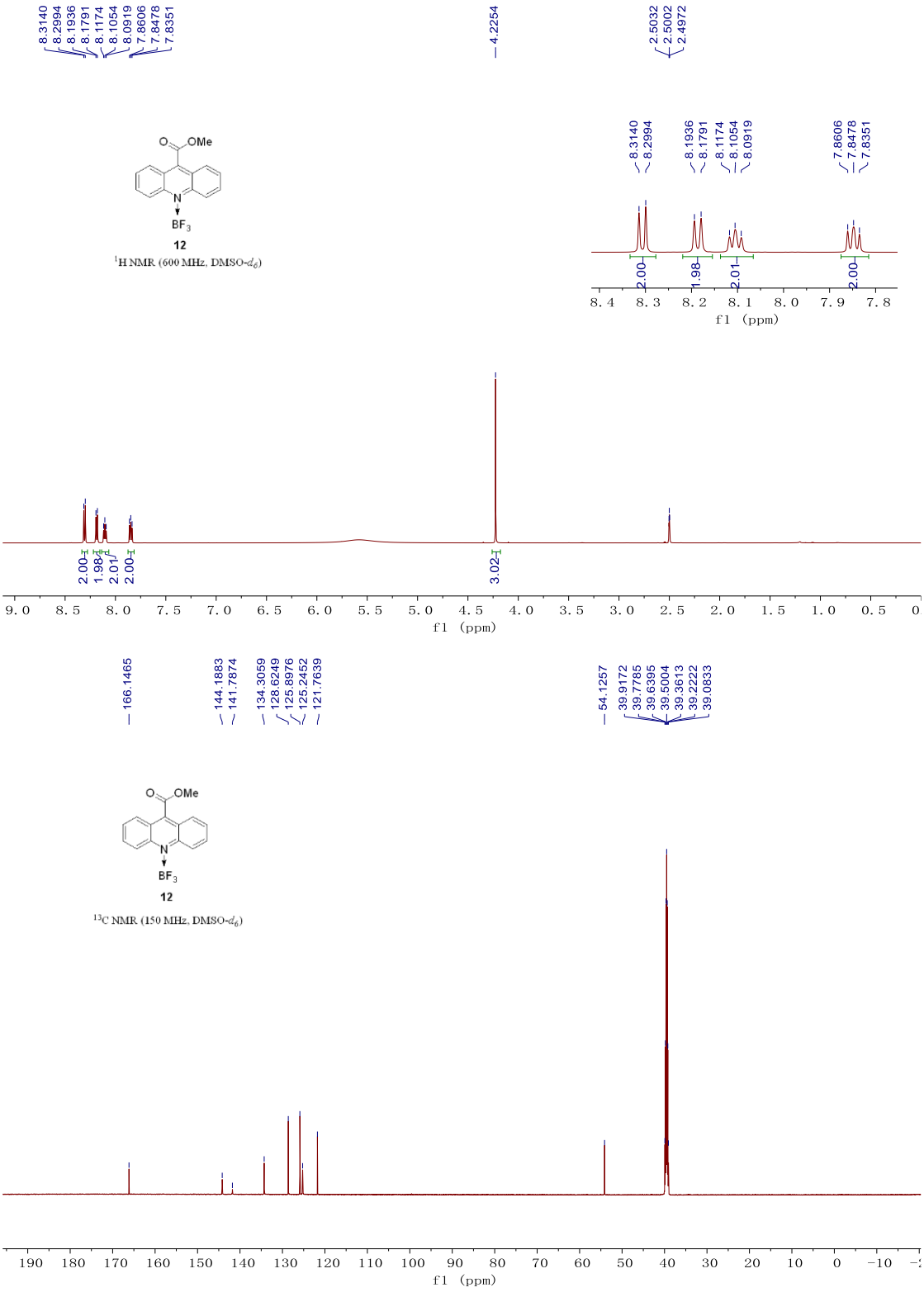

167.2418
147.9183
136.4346
130.2475
129.2705
126.8817
124.8869
121.8266
77.2117
77.0001
76.7884
67.8464
60.7687
9ah
13C NMR (150 MHz, CDCl3)
f1 (ppm)

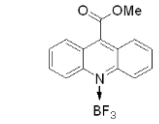

8.9000
8.8845
8.5185
8.5067
8.4919
8.4642
8.4576
8.4499
8.4434
8.1050
8.1015
8.0905
8.0795
8.0760
4.9081
4.3166
2.5003
OMe
CF3SO2O
10
1H NMR (600 MHz, DMSO-d6)
2.00
2.02
1.98
2.03
3.02
3.05
f1 (ppm)


165.0856
148.5162
141.8944
139.1045
129.2502
127.9740
122.2571
119.7854
54.9360
39.9366
39.7976
39.6586
39.5195
39.3804
39.2413
39.1023
OMe
CF3SO2O
10
13C NMR (150 MHz, DMSO-d6)
f1 (ppm)


8.8914
8.8763
8.1064
8.0919
7.7888
7.7743
7.7627
7.6390
7.6248
7.6134
7.2595
4.1729
OMe
N
O
11
1H NMR (600 MHz, CDCl3)
2.00
2.02
2.06
2.08
3.02
f1 (ppm)


167.1437
139.2407
130.7105
128.3746
125.9245
124.7464
124.0466
119.8927
77.2122
77.0005
76.7886
53.0577
OMe
N
O
11
13C NMR (150 MHz, CDCl3)
f1 (ppm)


8.3140
8.2994
8.1936
8.1791
8.1174
8.1054
8.0919
7.8606
7.8478
7.8351
4.2254
2.5032
2.5002
2.4972
OMe
N
BF3
12
1H NMR (600 MHz, DMSO-d6)
2.00
1.98
2.01
2.00
3.02
f1 (ppm)
166.1465
144.1883
141.7874
134.3059
128.6249
125.8976
125.2452
121.7639
54.1257
39.9172
39.7785
39.6395
39.5004
39.3613
39.2222
39.0833
13C NMR (150 MHz, DMSO-d6)

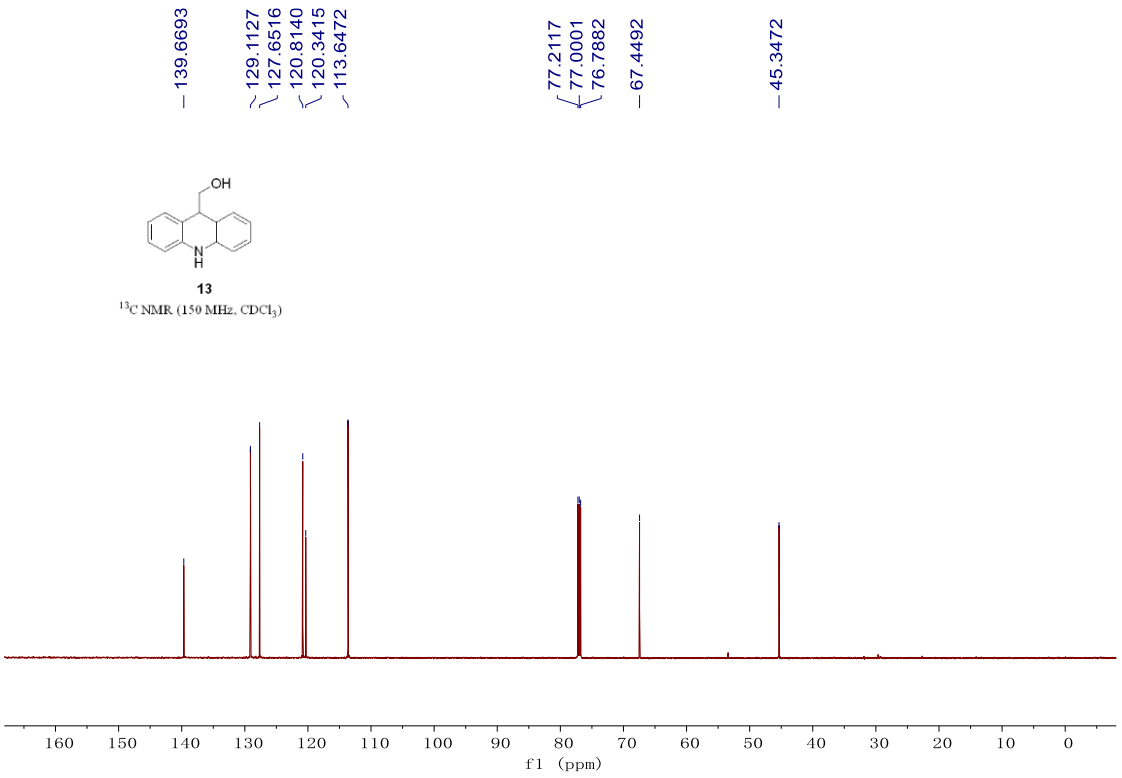


12

^{19}F NMR (564 MHz, DMSO-d_6)

13

^{1}H NMR (600 MHz, $CDCl_3$)



13

^{13}C NMR (150 MHz, $CDCl_3$)