# Efficient Synthesis and Evaluation of Antitumor Activities of Novel Functionalized 1,8-Naphthyridine Derivatives

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## General Experimental Methods

Melting points are uncorrected. IR spectra were recorded on Varian F-1000 spectrometer in KBr with absorptions in $cm^{-1}$. $^{1}H$ NMR and $^{13}C$ NMR were determined on Varian Invoa-400 MHz or Invoa-300 MHz spectrometer in $CDCl_3$ solution. *J* values are in Hz. Chemical shifts are expressed in ppm downfield from internal standard TMS. HRMS analyses were carried out using Bruker micrOTOF-Q instrument.

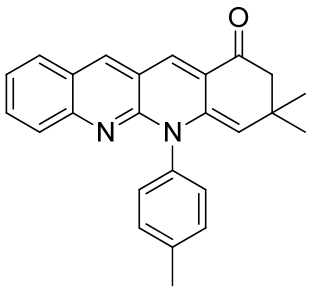
## General Procedure for the Synthesis Compounds of 3, 5, 6.

A dry 50 mL flask was charged with 2-chloroquinoline-3-carbaldehyde **1** (1 mmol), enaminones **2** (1 mmol), $Cs_2CO_3$ (2 mmol, 2 equiv.) and toluent (5 mL). The mixture was stirred at refluxing temperature for 0.7 h. After completion of the reaction (confirmed by TLC), the reaction mixture was cooled to room temperature. The mixture was then quenched with water and extracted with $CH_2Cl_2$ (3 × 50 mL). The extracts were washed with water (3 × 50 mL) and dried over anhydrous $Na_2SO_4$, After evaporation of the solvent under reduced pressure, the crude products were purified by recrystallization fron 95% ethanol to give pure products **3**.

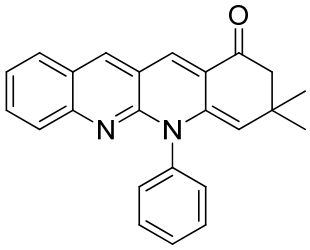
A dry 50 mL flask was charged with 2-chloroquinoline-3-carbaldehyde **1** (1 mmol), cyclic 1,3-dicarbonyl compounds **4** (1.5 mmol, 1.5 equiv.), $Cs_2CO_3$ (2 mmol, 2 equiv.), CuI (0.2 mmol, 20 mol%) and toluent (5 mL). The mixture was stirred at refluxing temperature for 0.8 h under $N_2$ atmosphere. After completion of the reaction (confirmed by TLC), the reaction mixture was cooled to room temperature. The mixture was then quenched with water and extracted with $CH_2Cl_2$ (3 × 50 mL). The extracts were washed with water (3 × 50 mL) and dried over anhydrous $Na_2SO_4$, After evaporation of the solvent under reduced pressure, the crude products were purified by column chromatography (petroleum ether : acetone = 8:1) to afford the pure products **5**.

A dry 50 mL flask was charged with 2-chloroquinoline-3-carbaldehyde **1** (1 mmol), cyclic 1,3-dicarbonyl compounds **4** (2 mmol), $Et_3N$ (2 mmol, 2 equiv.) and ethanol (5 mL). The mixture was stirred at refluxing temperature for 4 h. After completion of the reaction (confirmed by TLC), the reaction mixture was cooled to room temperature. The crude products were collected and purified by by recrystallization from 95% ethanol to give pure products **6**.

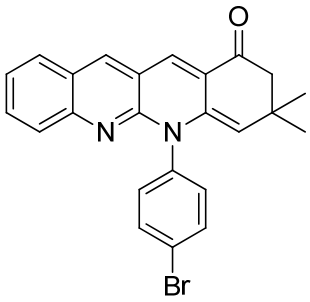
## Characterizations for compounds



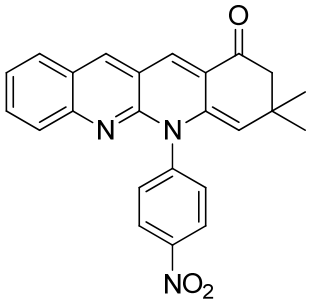
*3,3-Dimethyl-5-(p-tolyl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*1,1*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2957, 1658, 1607, 1560, 1512, 1462, 1383, 1350, 1285, 1175, 1106, 923, 819, 793; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.67 (s, 1H, ArH), 7.48 (d, $J$ = 8.0 Hz, 1H, ArH), 7.39-7.30 (m, 5H, ArH), 7.15 (d, $J$ = 8.0 Hz, 3H, ArH, CH), 4.23 (s, 1H, CH), 2.48 (s, 3H, $CH_3$), 2.46 (s, 2H, $CH_2$), 1.00 (s, 6H, 2 × $CH_3$); $^{13}$C NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.7, 153.1, 148.4, 138.8, 137.5, 136.8, 136.4, 131.0, 130.6, 129.5, 128.1, 127.5, 127.4, 126.1, 125.1, 123.6, 118.6, 112.2, 52.3, 33.6, 30.2, 21.4; HRMS calcd for $C_{25}H_{22}N_2NaO$ $[M+Na]^+$: 389.1630, found: 389.1647.



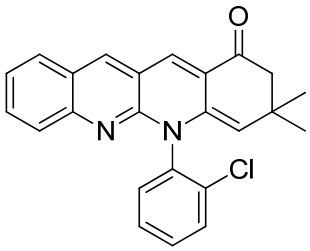
*3,3-Dimethyl-5-phenyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*1,2*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2955, 1679, 1610, 1573, 1421, 1388, 1268, 1173, 953; $^1$H NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 7.69 (s, 1H, ArH), 7.52-7.49 (m, 1H, ArH), 7.40 (s, 2H, ArH), 7.27-7.26 (m, 3H, ArH, CH), 7.16-7.09 (m, 2H, ArH), 6.95-6.93 (m, 2H, ArH), 4.36 (s, 1H, CH), 2.47 (s, 2H, $CH_2$), 1.02 (s, 6H, 2 × $CH_3$); $^{13}$C NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.6, 153.0, 148.4, 139.5, 138.8, 136.4, 130.6, 130.3, 129.9, 128.1, 127.8, 127.5, 126.1, 125.1, 123.7, 118.5, 112.3, 52.3, 33.6, 30.2; HRMS calcd for $C_{24}H_{20}N_2NaO$ $[M+Na]^+$: 375.1473, found: 375.1499.



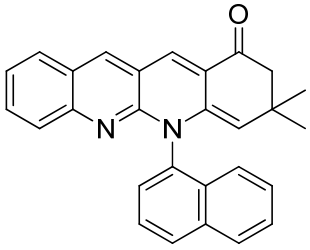
*5-(4-Bromophenyl)-3,3-dimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*1,6*} : Red solid; IR (KBr, *v*, $cm^{-1}$): 2951, 1694, 1608, 1558, 1488, 1435, 1397, 1349, 1330, 1286, 1177, 1110, 827, 795; $^1$H NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 7.71 (s, 3H, ArH), 7.53-7.51 (m, 1H, ArH), 7.40-7.32 (m, 3H, ArH), 7.19-7.17 (s, 3H, ArH, CH), 4.23 (s, 1H, CH), 2.46 (s, 2H, $CH_2$), 1.02 (s, 6H, 2 × $CH_3$); $^{13}$C NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.3, 152.7, 148.2, 138.5, 136.5, 133.6, 131.9, 130.8, 128.0, 127.5, 127.4, 126.1, 125.2, 123.9, 121.6, 118.3, 112.4, 52.2, 33.6, 31.0, 30.2; HRMS calcd for $C_{24}H_{20}BrN_2O$ $[M+H]^+$: 431.0759, found: 431.0770.



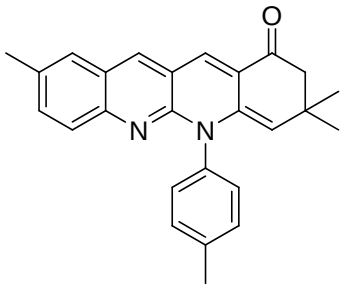
*3,3-Dimethyl-5-(4-nitrophenyl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*1,7*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2952, 1695, 1610, 1558, 1488, 1435, 1398, 1385, 1331, 1284, 1260, 1214, 1182, 1112, 1071, 1014, 954, 926; $^{1}H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 8.46 (d, $J$ = 8.8 Hz, 2H, ArH), 7.76 (s, 1H, ArH), 7.55-7.51 (m, 3H, ArH, CH), 7.42 (t, $J$ = 8.4 Hz, 1H, ArH), 7.36 (s, 1H, ArH), 7.30 (d, $J$ = 8.4 Hz, 1H, ArH), 7.20 (t, $J$ = 7.6 Hz, 1H, ArH), 4.19 (s, 1H, CH), 2.48 (s, 2H, $CH_2$), 1.03 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ 197.0, 152.3, 148.0, 147.2, 145.7, 138.3, 136.8, 131.6, 131.0, 127.8, 127.7, 127.3, 126.2, 125.8, 125.3, 124.3, 118.1, 112.7, 52.2, 33.7, 30.1; HRMS calcd for $C_{24}H_{20}N_3O_3$ $[M+H]^+$: 398.1505, found: 398.1512.



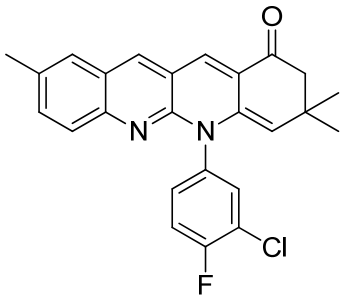
*5-(2-Chlorophenyl)-3,3-dimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*1,10*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2924, 1678, 1611, 1516, 1479, 1443, 1400, 1356, 1291, 1175, 1111, 1029, 980, 924, 856; $^{1}H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.69 (s, 1H, ArH), 7.56 (d, $J$ = 8.8 Hz, 2H, ArH), 7.50 (d, $J$ = 8.0 Hz, 1H, ArH), 7.39 (t, $J$ = 7.6 Hz, 1H, ArH), 7.33-7.30 (m, 2H, ArH, CH), 7.23 (d, $J$ = 8.4 Hz, 2H, ArH), 7.16 (t, $J$ = 7.6 Hz, 1H, ArH), 4.22 (s, 1H, CH), 2.46 (s, 2H, $CH_2$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (101 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 152.8, 148.3, 138.6, 138.1, 136.5, 133.5, 131.5, 130.8, 130.6, 128.0, 127.5, 127.4, 126.2, 125.2, 123.9, 118.4, 112.4, 52.3, 33.6, 30.2; HRMS calcd for $C_{24}H_{20}ClN_2O$ $[M+H]^+$: 387.1264, found: 387.1283.



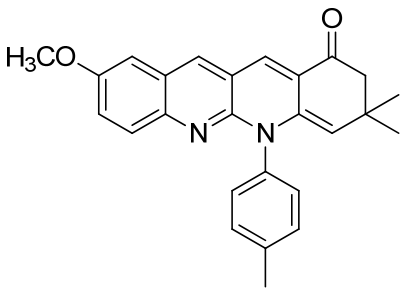
*3,3-Dimethyl-5-(naphthalen-1-yl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*1,12*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2952, 1696, 1607, 1565, 1495, 1438, 1389, 1348, 1325, 1283, 1175, 917, 830, 769, 741; $^{1}H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.97-7.95 (m, 2H, ArH), 7.76-7.73 (m, 2H, ArH), 7.68-7.64 (m, 1H, ArH), 7.50-7.45 (m, 3H, ArH), 7.40-7.37 (m, 2H, ArH), 7.28-7.24 (m, 1H, ArH), 7.12-7.08 (m, 2H, ArH, CH), 4.03 (s, 1H, CH), 2.46 (s, 2H, $CH_2$), 0.94 (s, 3H, $CH_3$), 0.84 (s, 3H, $CH_3$); $^{13}C$ NMR (101 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 153.3, 148.8, 138.5, 136.6, 136.5, 135.5, 130.7, 130.4, 128.9, 128.7, 128.4, 128.2, 127.7, 126.9, 126.8, 126.5, 125.4, 123.9, 123.3, 118.7, 112.9, 52.6, 33.8, 30.4, 30.3; HRMS calcd for $C_{28}H_{23}N_2O$ $[M+H]^+$: 403.1810, found: 403.1789.



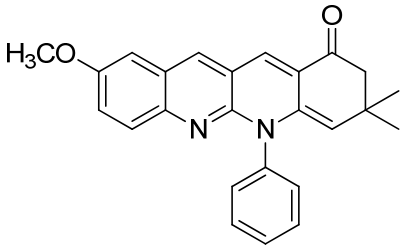
*3,3,9-Trimethyl-5-(p-tolyl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*2,1*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2952, 1688, 1607, 1574, 1418, 1391, 1268, 1175, 951; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.59 (s, 1H, ArH), 7.38 (d, $J$ = 8.0 Hz, 2H, ArH), 7.29 (s, 1H, ArH), 7.24-7.14 (m, 5H, ArH, CH), 4.20 (s, 1H, CH), 2.47 (s, 3H, $CH_3$), 2.45 (s, 2H, $CH_2$), 2.36 (s, 3H, $CH_3$), 1.00 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.7, 153.0, 149.0, 140.8, 139.0, 137.3, 136.9, 134.2, 133.0, 130.9, 129.5, 127.6, 126.7, 126.6, 125.2, 122.4, 117.1, 111.2, 52.3, 33.5, 30.2, 21.7, 21.4, 18.5; HRMS calcd for $C_{26}H_{25}N_2O$ $[M+H]^+$: 381.1967, found: 381.1993.



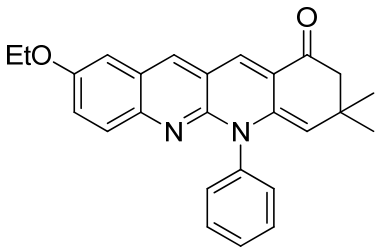
*5-(3-Chloro-4-fluorophenyl)-3,3,9-trimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*2,8*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2950, 1699, 1573, 1488, 1391, 1356, 1329, 1291, 1175, 923, 800; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.62 (s, 1H, ArH), 7.37-7.25 (m, 6H, ArH, CH), 7.19-7.16 (m, 1H, ArH), 4.20 (s, 1H, CH), 2.45 (s, 2H, $CH_2$), 2.38 (s, 3H, $CH_3$), 1.02 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.3, 157.4 ($^1J_{CF}$ = 247.5 Hz), 152.2, 146.5, 138.6, 136.1, 133.7, 132.9, 132.5, 130.2, 130.1, 127.8, 127.2, 126.4 ($^2J_{CF}$ = 24.0 Hz), 125.2, 118.1, 118.0 ($^2J_{CF}$ = 21.8 Hz), 111.9, 52.3, 33.6, 30.2, 21.2; HRMS calcd for $C_{25}H_{21}ClFN_2O$ $[M+H]^+$: 419.1326, found: 419.1325.



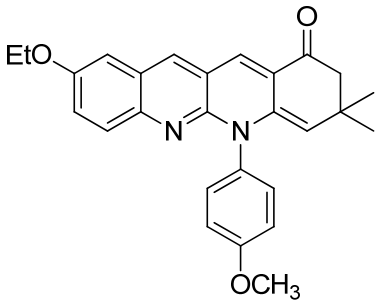
*9-Methoxy-3,3-dimethyl-5-(p-tolyl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*3,1*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2942, 1689, 1607, 1563, 1500, 1433, 1360, 1337, 1288, 1240, 1169, 1111, 1034, 823, 776; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.60 (s, 1H, ArH), 7.38 (d, $J$ = 8.0 Hz, 2H, ArH), 7.28 (s, 2H, ArH), 7.15 (d, $J$ = 8.0 Hz, 2H, ArH), 7.05-7.02 (m, 1H, ArH), 6.84-6.83 (m, 1H, CH), 4.19 (s, 1H, CH), 3.83 (s, 3H, $OCH_3$), 2.47 (s, 3H, $CH_3$), 2.45 (s, 2H, $CH_2$), 1.00 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 194.6, 175.7, 162.9, 157.5, 148.5, 145.1, 139.3, 136.3, 135.8, 130.6, 130.2, 130.0, 129.9, 129.0, 128.7, 127.0, 126.3, 121.2, 113.5, 105.0, 55.7, 51.9, 44.2, 32.0, 28.2, 21.4; HRMS calcd for $C_{26}H_{25}N_2O_2$ $[M+H]^+$: 397.1916, found: 397.1925.



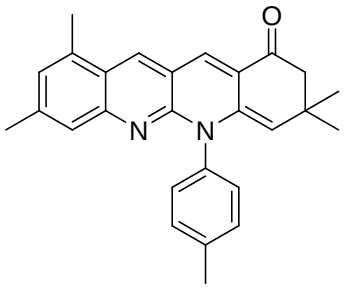
*9-Methoxy-3,3-dimethyl-5-phenyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*3,2*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2953, 1627, 1621, 1547, 1429, 1376, 1347, 1221, 1158, 1005, 830, 764; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.66 (s, 1H, ArH), 7.48 (d, *J* = 7.6 Hz, 1H, ArH), 7.36-7.32 (m, 2H, ArH), 7.29 (s, 1H, ArH), 7.19-7.17 (m, 2H, ArH), 7.13-7.09 (m, 3H, ArH, CH), 4.25 (s, 1H, CH), 3.91 (s, 3H, $OCH_3$), 2.45 (s, 2H, $CH_2$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 152.6, 147.0, 144.3, 139.6, 138.8, 136.3, 130.4, 130.3, 130.0, 127.9, 127.8, 127.2, 126.3, 125.0, 123.8, 118.3, 111.7, 52.3, 33.7, 33.5, 30.2, 23.9; HRMS calcd for $C_{25}H_{23}N_2O_2$ $[M+H]^+$: 383.1760, found: m/z 383.1775.



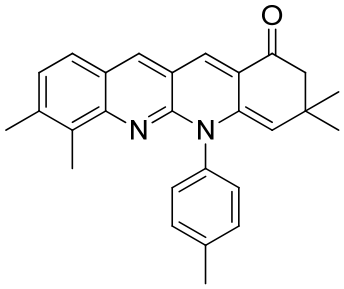
*9-Ethoxy-3,3-dimethyl-5-phenyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*4,2*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2943, 1690, 1612, 1566, 1512, 1461, 1384, 1290, 1253, 1190, 1119, 1033, 941, 824, 790; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.63-7.60 (m, 3H, ArH), 7.49 (t, *J* = 7.6 Hz, 1H, ArH), 7.33-7.29 (m, 4H, ArH), 7.08-7.05 (m, 1H, ArH), 6.86 (s, 1H, CH), 4.18 (s, 1H, CH), 4.07 (q, *J* = 6.8 Hz, 2H, $OCH_2$), 2.48 (s, 2H, $CH_2$), 1.45 (t, *J* = 6.8 Hz, 3H, $CH_3$), 1.02 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 155.1, 151.8, 144.0, 139.6, 138.9, 135.4, 130.3, 130.0, 128.8, 128.2, 127.7, 126.2, 125.6, 122.7, 118.5, 111.3, 106.6, 63.6, 52.3, 33.5, 30.2, 14.8; HRMS calcd for $C_{26}H_{25}N_2O_2$ $[M+H]^+$: 397.1916, found: 397.1963.



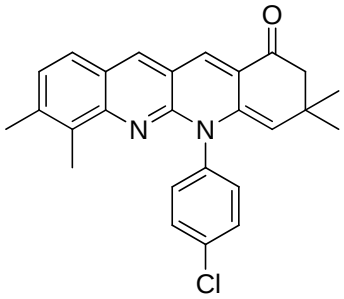
*9-Ethoxy-5-(4-methoxyphenyl)-3,3-dimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*4,3*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2954, 1663, 1609, 1531, 1510, 1367, 1267, 1200, 1184, 1035, 960, 872, 693; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.58 (s, 1H, ArH), 7.28 (s, 1H, ArH), 7.19-7.17 (m, 3H, ArH), 7.09 (d, *J* = 8.4 Hz, 2H, ArH), 7.06-7.03 (m, 1H, ArH), 6.82 (s, 1H, CH), 4.20 (s, 1H, CH), 4.04 (q, *J* = 6.8 Hz, 2H, $OCH_2$), 3.91 (s, 3H, $OCH_3$), 2.45 (s, 2H, $CH_2$), 1.42 (t, *J* = 6.8 Hz, 3H, $CH_3$), 1.00 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.9, 158.7, 155.1, 144.0, 139.1, 135.3, 132.2, 130.8, 130.0, 128.8, 128.2, 126.1, 125.5, 122.6, 118.5, 115.5, 113.3, 111.3, 106.6, 105.7, 63.6, 55.4, 52.3, 33.5, 30.3, 14.8, 14.7; HRMS calcd for $C_{27}H_{27}N_2O_3$ $[M+H]^+$: 427.2022, found: 427.2023.



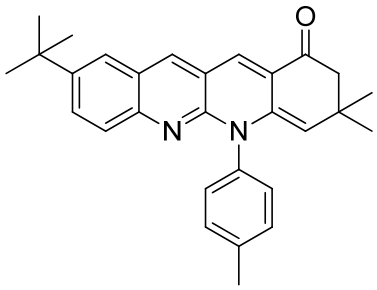
*3,3,8,10-Tetramethyl-5-(p-tolyl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*5,1*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2948, 1643, 1568, 1478, 1400, 1337, 1271, 1187, 1073, 782; $^{1}H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.80 (s, 1H, ArH), 7.38 (d, $J$ = 7.6 Hz, 2H, ArH), 7.34 (s, 1H, ArH), 7.14 (d, $J$ = 8.0 Hz, 2H, ArH), 7.00 (s, 1H, ArH), 6.82 (s, 1H, CH), 4.19 (s, 1H, CH), 2.50 (s, 3H, $CH_3$), 2.48 (s, 3H, $CH_3$), 2.45 (s, 2H, $CH_2$), 2.28 (s, 3H, $CH_3$), 1.00 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.7, 153.0, 149.0, 140.8, 139.0, 137.3, 136.9, 134.2, 133.0, 130.9, 129.5, 127.6, 126.7, 126.6, 125.2, 122.3, 117.1, 111.2, 52.3, 33.5, 31.0, 30.2, 21.6, 21.4, 18.5; HRMS calcd for $C_{27}H_{27}N_2O$ $[M+H]^+$: 395.2123, found: 395.2119.



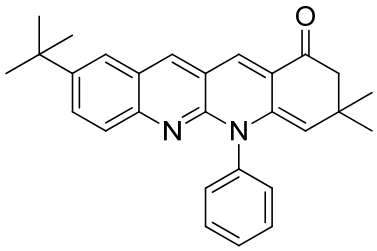
*3,3,7,8-Tetramethyl-5-(p-tolyl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*6,1*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2948, 1694, 1565, 1471, 1399, 1340, 1198, 1032, 752; $^{1}H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.71 (s, 1H, ArH), 7.29 (d, $J$ = 8.0 Hz, 2H, ArH), 7.24 (s, 1H, ArH), 7.06 (d, $J$ = 8.0 Hz, 2H, ArH), 6.91 (s, 1H, ArH), 6.73 (s, 1H, CH), 4.11 (s, 1H, CH), 2.41 (s, 2H, $CH_2$), 2.39 (s, 3H, $CH_3$), 2.36 (s, 3H, $CH_3$), 2.19 (s, 3H, $CH_3$), 0.92 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.7, 153.0, 149.0, 140.8, 139.0, 137.3, 136.9, 134.2, 133.0, 130.9, 129.5, 127.6, 126.7, 126.6, 125.2, 122.4, 117.1, 111.2, 52.3, 33.5, 30.2, 21.7, 21.4, 18.5; HRMS calcd for $C_{27}H_{27}N_2O$ $[M+H]^+$: 395.2123, found: 395.2156.



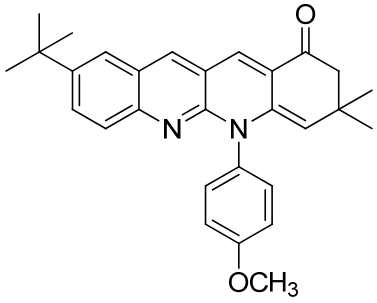
*5-(4-Chlorophenyl)-3,3,7,8-tetramethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*6,5*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2959, 1695, 1598, 1491, 1403, 1336, 1287, 1187, 1090, 778, 701; $^{1}H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 7.64 (s, 1H, ArH), 7.57-7.54 (m, 2H, ArH), 7.32 (s, 1H, ArH), 7.28-7.23 (m, 3H, ArH), 7.01-6.99 (m, 1H, CH), 4.24 (s, 1H, CH), 2.46 (s, 2H, $CH_2$), 2.31 (s, 3H, $CH_3$), 2.06 (s, 3H, $CH_3$), 1.02 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.5, 151.8, 146.7, 139.3, 138.6, 138.5, 136.7, 133.1, 132.6, 132.5, 131.4, 130.3, 127.6, 126.6, 126.4, 124.5, 123.3, 116.8, 111.3, 52.3, 33.5, 30.2, 20.8, 12.5; HRMS calcd for $C_{26}H_{24}ClN_2O$ $[M+H]^+$: 415.1577, found: m/z 415.1566.



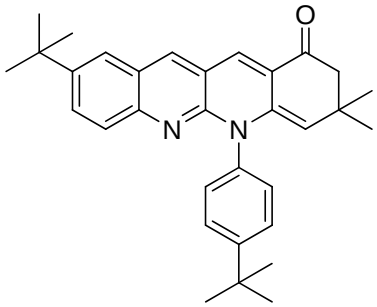
*9-(Tert-butyl)-3,3-dimethyl-5-(p-tolyl)-2,3-dihydrodibenzo[b,g][1,8] naphthyridin-1(5H)-one* **3**{*7,1*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2953, 1695, 1627, 1609, 1461, 1432, 1380, 1260, 1176, 824, 780; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.66 (s, 1H, ArH), 7.47-7.37 (m, 4H, ArH), 7.30-7.28 (m, 2H, ArH), 7.14 (d, $J$ = 8.0 Hz, 2H, ArH, CH), 4.20 (s, 1H, CH), 2.47 (s, 3H, $CH_3$), 2.45 (s, 2H, $CH_2$ ), 1.32 (s, 9H, $C(CH_3)_3$), 1.00 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 152.9, 146.9, 146.4, 138.9, 137.3, 136.9, 136.5, 130.9, 129.5, 129.3, 128.0, 127.1, 126.3, 124.7, 122.7, 118.3, 111.5, 52.3, 34.5, 33.5, 31.2, 30.2, 21.4; HRMS calcd for $C_{29}H_{31}N_2O$ $[M+H]^+$: 423.2436, found: 423.2463.



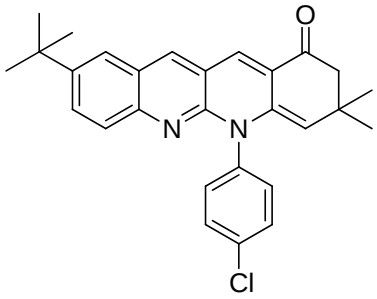
*9-(Tert-butyl)-3,3-dimethyl-5-phenyl-2,3-dihydrodibenzo[b,g][1,8]n aphthyridin-1(5H)-one* **3**{*7,2*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2953, 1695, 1628, 1609, 1380, 1347, 1284, 1107, 824, 781; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.70-7.68 (m, 4H, ArH), 7.49 (d, $J$ = 8.8 Hz, 1H, ArH), 7.44 (s, 1H, ArH), 7.31-7.30 (m, 2H, ArH, CH), 7.16 (d, $J$ = 8.4 Hz, 2H, ArH), 4.19 (s, 1H, CH), 2.45 (s, 2H, $CH_2$), 1.33 (s, 9H, $C(CH_3)_3$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 152.9, 146.9, 146.3, 139.0, 137.3, 136.9, 136.5, 130.9, 129.5, 129.3, 128.0, 127.1 126.4, 124.7, 122.7, 118.3, 111.5, 52.3, 34.5, 33.5, 31.2, 30.2, 21.4; HRMS calcd for $C_{28}H_{29}N_2O$ $[M+H]^+$: 409.2280, found: 409.2252.



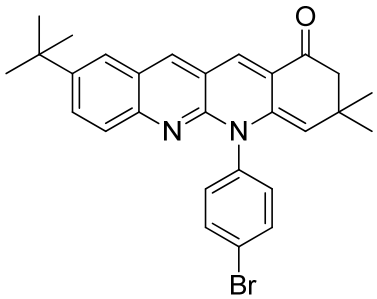
*9-(Tert-butyl)-5-(4-methoxyphenyl)-3,3-dimethyl-2,3-dihydrodibenzo [b,g][1,8]naphthyridin-1(5H)-one* **3**{*7,3*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2979, 1675, 1540, 1362, 1308, 854, 704; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.66 (s, 1H, ArH), 7.48-7.45 (m, 1H, ArH), 7.42 (s, 1H, ArH), 7.30-7.28 (m, 2H, ArH), 7.18-7.16 (m, 2H, ArH), 7.11-7.08 (m, 2H, ArH, CH), 4.22 (s, 1H, CH), 3.91 (s, 3H, $OCH_3$), 2.45 (s, 2H, $CH_2$), 1.33 (s, 9H, $C(CH_3)_3$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 158.7, 153.0, 146.8, 146.4, 139.1, 136.5, 132.2, 130.8, 129.4, 128.0, 127.0, 126.3, 124.7, 122.7, 118.3, 115.4, 113.3, 111.6, 55.4, 52.3, 34.5, 33.5, 31.2, 30.2; HRMS calcd for $C_{29}H_{31}N_2O_2$ $[M+H]^+$: 439.2386, found: 439.2399.



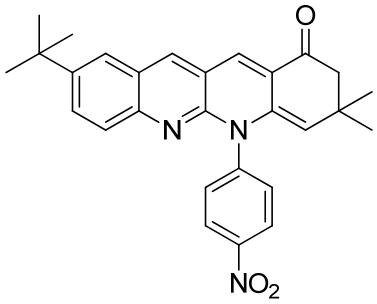
*9-(Tert-butyl)-5-(4-(tert-butyl)phenyl)-3,3-dimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*7,4*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2964, 1698, 1578, 1421, 1373, 1207, 1049, 948, 840, 766; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.66 (s, 1H, ArH), 7.57 (d, $J$ = 8.4 Hz, 2H, ArH), 7.46-7.42 (m, 2H, ArH), 7.31-7.27 (m, 2H, ArH, CH), 7.16 (d, $J$ = 8.4 Hz, 2H, ArH), 4.21 (s, 1H, CH), 2.45 (s, 2H, $CH_2$), 1.42 (s, 9H, $C(CH_3)_3$), 1.32 (s, 9H, $C(CH_3)_3$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.9, 152.9, 150.4, 146.8, 146.3, 138.9, 136.8, 136.5, 129.3, 129.1, 128.0, 127.1, 126.4, 124.6, 122.6, 118.3, 111.5, 52.4, 34.8, 34.5, 33.5, 31.5, 31.2, 30.22; HRMS calcd for $C_{32}H_{37}N_2O$ $[M+H]^+$: 465.2906, found: 465.2919.



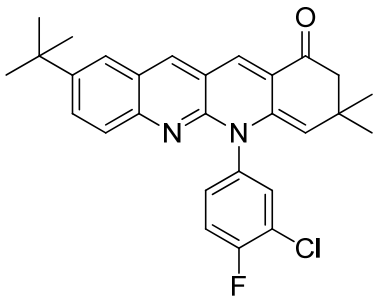
*9-(Tert-butyl)-5-(4-chlorophenyl)-3,3-dimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*7,5*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2952, 1697, 1555, 1492, 1385, 1346, 1283, 1261, 1177, 1017, 927, 826, 781; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 7.69 (s, 1H, ArH), 7.57-7.44 (m, 5H, ArH), 7.32 (s, 1H, ArH), 7.23-7.21 (m, 2H, ArH, CH), 4.19 (s, 1H, CH), 2.46 (s, 2H, $CH_2$), 1.33 (s, 9H, $C(CH_3)_3$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.5, 152.5, 146.7, 146.6, 138.7, 138.1, 136.7, 133.4, 131.5, 130.6, 129.6, 127.8, 127.0, 126.4, 124.7, 122.8, 118.1, 111.7, 52.3, 34.5, 33.6, 31.2, 30.2; HRMS calcd for $C_{28}H_{28}ClN_2O$ $[M+H]^+$: 443.1890, found: m/z 443.1875.



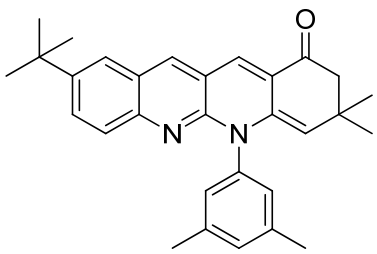
*5-(4-Bromophenyl)-9-(tert-butyl)-3,3-dimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*7,6*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2951, 1697, 1594, 1385, 1347, 1284, 1261, 1178, 1014, 927, 825, 781; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.71 (s, 1H, ArH), 7.68 (d, $J$ = 4.0 Hz, 2H, ArH), 7.50-7.48 (m, 1H, ArH), 7.44-7.43 (m, 1H, ArH), 7.31 (s, 1H, CH), 7.29 (d, $J$ = 8.8 Hz, 1H, ArH), 7.16 (d, $J$ = 8.4 Hz, 2H, ArH), 4.19 (s, 1H, CH), 2.45 (s, 2H, $CH_2$), 1.33 (s, 9H, $C(CH_3)_3$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 152.4, 146.7, 146.6, 138.7, 138.6, 136.7, 133.6, 131.9, 129.6, 127.8, 127.0, 126.4, 124.8, 122.8, 121.5, 118.1, 111.7, 52.3, 34.5, 33.6, 31.2, 30.2; HRMS calcd for $C_{28}H_{28}BrN_2O$ $[M+H]^+$: 487.1385, found: m/z 487.1340.



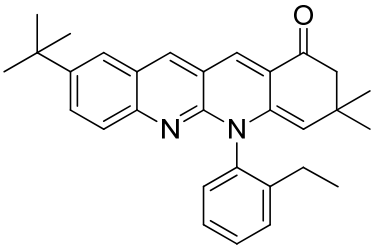
*9-(Tert-butyl)-3,3-dimethyl-5-(4-nitrophenyl)-2,3-dihydrodibenzo[b, g][1,8]naphthyridin-1(5H)-one* **3**{*7,7*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2949, 1697, 1607, 1591, 1384, 1346, 1283, 1181, 940, 824; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 8.45 (d, $J$ = 8.8 Hz, 2H, ArH), 7.75 (s, 1H, ArH), 7.51 (d, $J$ = 8.4 Hz, 3H, ArH), 7.47 (s, 1H, ArH), 7.36 (s, 1H, ArH), 7.26-7.25 (m, 1H, CH), 4.16 (s, 1H, CH), 2.48 (s, 2H, $CH_2$), 1.34 (s, 9H, $C(CH_3)_3$), 1.02 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.1, 152.0, 147.1, 145.8, 138.3, 137.0, 131.6, 129.8, 129.0, 127.6, 126.9, 126.4, 125.7, 124.9, 123.4, 122.9, 117.8, 115.5, 112.1, 52.2, 34.6, 33.6, 31.1, 30.1; HRMS calcd for $C_{28}H_{28}N_3O_3$ $[M+H]^+$: 454.2131, found: 454.2108.



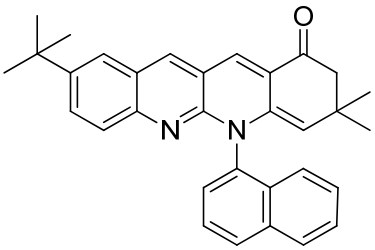
*9-(Tert-butyl)-5-(3-chloro-4-fluorophenyl)-3,3-dimethyl-2,3-dihydro dibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*7,8*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2942, 1696, 1557, 1511, 1433, 1386, 1347, 1288, 1244, 1180, 941, 824, 781; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.72-7.69 (m, 2H, ArH), 7.49 (d, $J$ = 8.8 Hz, 1H, ArH), 7.44 (s, 1H, ArH), 7.31-7.26 (m, 2H, ArH, CH), 7.16 (d, $J$ = 8.0 Hz, 2H, ArH), 4.18 (s, 1H, CH), 2.45 (s, 2H, $CH_2$), 1.33 (s, 9H, $C(CH_3)_3$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.3, 157.4 ($^1J_{CF}$ = 248.2 Hz), 152.4, 146.9, 146.5, 138.7, 136.8, 136.0, 132.5, 130.2, 130.1, 129.7, 127.7, 127.0, 126.4, 124.8, 122.8, 122.3 ($^2J_{CF}$ = 18.8 Hz), 118.1 ($^2J_{CF}$ = 19.5 Hz), 111.8, 52.3, 34.6, 33.6, 31.2, 30.2; HRMS calcd for $C_{28}H_{27}ClFN_2O$ $[M+H]^+$: 461.1796, found: m/z 461.1789.



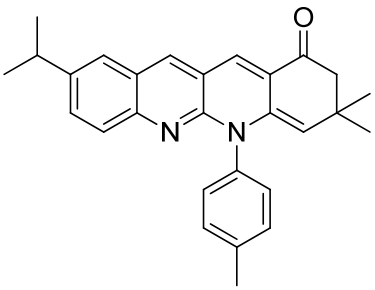
*9-(Tert-butyl)-5-(3,5-dimethylphenyl)-3,3-dimethyl-2,3-dihydrodiben zo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*7,9*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2971, 1685, 1563, 1456, 1342, 1210, 1038, 795, 762; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.64 (s, 1H, ArH), 7.47-7.41 (m, 2H, ArH), 7.32-7.29 (m, 2H, ArH), 7.06 (s, 1H, ArH), 6.86 (s, 2H, ArH, CH), 4.21 (s, 1H, CH), 2.45 (s, 2H, $CH_2$), 2.39 (s, 6H, 2 × $CH_3$), 1.32 (s, 9H, $C(CH_3)_3$), 1.01 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.9, 152.1, 146.6, 141.1, 139.5, 138.6, 136.4, 129.6, 129.0, 128.2, 127.1, 126.1, 125.4, 124.9, 123.4, 118.0, 111.5, 52.5, 33.6, 30.2, 25.1, 21.3, 14.8; HRMS calcd for $C_{30}H_{33}N_2O$ $[M+H]^+$: 437.2593, found: 437.2589.



*9-(Tert-butyl)-5-(2-ethylphenyl)-3,3-dimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*7,11*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2956, 1698, 1600, 1571, 1521, 1399, 1109, 925, 797, 764; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.61 (s, 1H, ArH), 7.55 (d, $J$ = 8.4 Hz, 2H, ArH), 7.31 (t, $J$ = 8.0 Hz, 2H, ArH), 7.19 (d, $J$ = 7.2 Hz, 1H, ArH), 7.16 (d, $J$ = 8.8 Hz, 2H, ArH, CH), 7.03 (t, $J$ = 7.6 Hz, 1H, ArH), 4.39 (s, 1H, CH), 2.49-2.43 (m, 4H, 2 × $CH_2$), 1.41 (s, 9H, $C(CH_3)_3$), 1.03 (s, 6H, 2 × $CH_3$), 0.83 (t, $J$ = 7.2 Hz, 3H, $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 152.2, 150.4, 146.6, 141.0, 138.6, 137.0, 136.4, 129.7, 129.1, 128.2, 126.8, 126.2, 125.4, 124.9, 123.4, 118.0, 111.3, 52.5, 34.7, 33.6, 31.5, 30.3, 25.2, 14.7; HRMS calcd for $C_{30}H_{33}N_2O$ $[M+H]^+$: 437.2593, found: 437.2589.

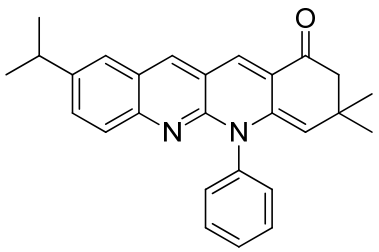


*9-(Tert-butyl)-3,3-dimethyl-5-(naphthalen-2-yl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*7,12*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2956, 1609, 1507, 1439, 1379, 1257, 1149, 1122, 1053, 769; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.96-7.93 (m, 2H, ArH), 7.74 (d, $J$ = 8.8 Hz, 1H, ArH), 7.71 (s, 1H, ArH), 7.64 (t, $J$ = 8.0 Hz, 1H, ArH), 7.48-7.44 (m, 2H, ArH), 7.42 (s, 2H, ArH), 7.38-7.35 (m, 2H, ArH, CH), 7.07 (d, $J$ =8.8 Hz, 1H, ArH), 4.00 (s, 1H, CH), 2.45 (s, 2H, $CH_2$), 1.28 (s, 9H, $C(CH_3)_3$), 0.93 (s, 3H, $CH_3$), 0.83 (s, 3H, $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 153.0, 146.9, 146.5, 138.4, 136.6, 136.4, 135.3, 130.2, 129.3 128.6, 128.4, 128.0, 127.1, 126.6, 126.5, 126.2, 124.8, 123.2, 122.7, 118.2, 112.1, 52.4, 34.5, 33.6, 31.2, 30.1; HRMS calcd for $C_{32}H_{31}N_2O$ $[M+H]^+$: 459.2436, found: 459.2454.

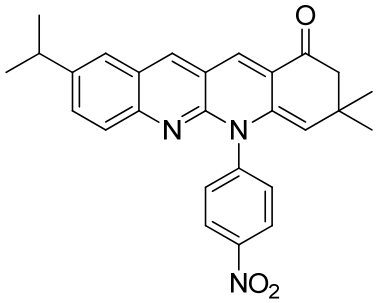


*9-Isopropyl-3,3-dimethyl-5-(p-tolyl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*8,1*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2955, 1695, 1611, 1575, 1493, 1442, 1381, 1356, 1265, 1176, 1151, 956, 825, 783, 702; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.63 (s, 1H, ArH), 7.37 (d, $J$ = 7.6 Hz, 2H, ArH), 7.29-7.28 (m, 4H, ArH, CH), 7.14 (d, $J$ = 7.6 Hz, 2H, ArH, ArH), 4.20 (s, 1H, CH), 2.93-2.90 (m, 1H, CH), 2.46 (s, 3H, $CH_3$), 2.45 (s, 2H, $CH_2$), 1.24 (d, $J$ = 6.8 Hz, 6H, $(CH_3)_2C$), 1.00 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 152.7, 147.2, 144.1, 138.9, 137.3, 136.9, 136.2, 130.9, 130.3, 129.5, 128.0, 127.3, 126.3, 125.0,

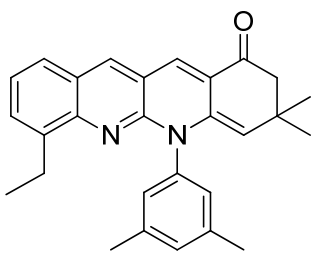
123.8, 118.3, 111.6, 52.3, 33.7, 33.5, 30.2, 23.9, 21.4; HRMS calcd for $C_{28}H_{29}N_2O$ $[M+H]^+$: 409.2280, found: 409.2301.



*9-Isopropyl-3,3-dimethyl-5-phenyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*8,2*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2946, 1612, 155, 1552, 1469, 1438, 1383, 1356, 1331, 1176, 1110, 942, 837, 783; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.66 (s, 1H, ArH), 7.59 (t, $J$ = 7.6 Hz, 2H, ArH), 7.47 (t, $J$ = 7.2 Hz, 1H, ArH), 7.32-7.30 (m, 2H, ArH), 7.28-7.26 (m, 4H, ArH, CH), 4.16 (s, 1H, CH), 2.96-2.89 (m, 1H, CH), 2.46 (s, 2H, $CH_2$), 1.25 (d, $J$ = 6.8 Hz, 6H, $(CH_3)_2C$), 1.00 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (101 MHz, $CDCl_3$) $\delta$ (ppm): 197.5, 151.8, 146.4, 141.0, 138.5, 138.4, 136.7, 133.2, 131.5, 130.3, 129.8, 128.0, 126.2, 125.5, 125.1, 123.8, 117.8, 111.7, 52.4, 33.6, 30.2, 25.0, 14.6; HRMS calcd for $C_{27}H_{27}N_2O$ $[M+H]^+$: 395.2123, found: 395.2154.

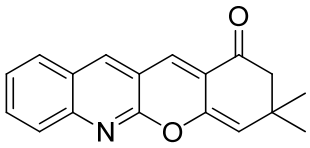


*9-Isopropyl-3,3-dimethyl-5-(4-nitrophenyl)-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*8,7*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2955, 1689, 1612, 1562, 1552, 1469, 1383, 1356, 1261, 1176, 1110, 942, 829, 837, 783; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 8.46 (d, $J$ = 8.4 Hz, 2H, ArH), 7.73 (s, 1H, ArH), 7.51 (d, $J$ = 8.4 Hz, 2H, ArH), 7.36-7.33 (m, 3H, ArH), 7.24 (s, 1H, CH), 4.16 (s, 1H, CH), 2.97-2.93 (m, 1H, CH), 2.48 (s, 2H, $CH_2$), 1.27 (d, $J$ = 6.8 Hz, 6H, $(CH_3)_2C$), 1.02 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 158.8, 153.0, 146.5, 139.1, 136.7, 132.1, 130.8, 129.5, 128.0, 126.9, 126.3, 124.7, 122.7, 118.4, 115.5, 114.5, 111.9, 52.3, 34.5, 33.5, 31.2, 30.3; HRMS calcd for $C_{27}H_{26}N_3O_3$ $[M+H]^+$: 440.1974, found: 440.1979.

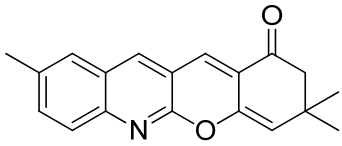


*5-(3,5-Dimethylphenyl)-7-ethyl-3,3-dimethyl-2,3-dihydrodibenzo[b,g][1,8]naphthyridin-1(5H)-one* **3**{*9,9*}: Red solid; IR (KBr, *v*, $cm^{-1}$): 2952, 1678, 1607, 1564, 1418, 1391, 1333, 1270, 1155, 951, 829; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.63 (s, 1H, ArH), 7.34-7.30 (m, 2H, ArH), 7.22 (d, $J$ = 6.4 Hz, 1H, ArH), 7.05 (s, 2H, ArH), 6.88 (s, 2H, ArH, CH), 4.37 (s, 1H, CH), 2.52 (q, $J$ = 7.6 Hz, 2H, $CH_2$), 2.47 (s, 2H, $CH_2$), 2.38 (s, 6H, 2 × $CH_3$), 1.03 (s, 6H, 2 × $CH_3$), 0.92 (t, $J$ = 7.6 Hz, 3H, $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 197.8, 152.1, 146.6, 141.0, 139.5, 138.6,

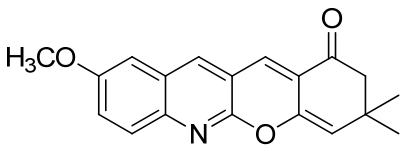
136.4, 129.7, 129.0, 128.2, 127.1, 126.1, 125.5, 125.0, 123.4, 118.0, 111.5, 52.5, 33.6, 30.3, 25.2, 21.3, 14.8; HRMS calcd for $C_{28}H_{29}N_2O$ $[M+H]^+$: 409.2280, found: m/z 409.2278.



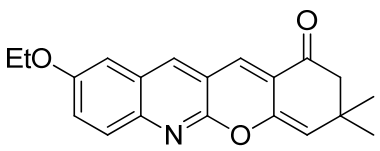
*3,3-Dimethyl-2,3,5a,12a-tetrahydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*1,1*}: Yellow solid; IR (KBr, *v*, $cm^{-1}$): 2950, 1678, 1610, 1388, 1268, 1172, 953; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 7.86 (s, 1H, ArH), 7.79 (d, $J$ = 8.1 Hz, 1H, ArH), 7.69-7.61 (m, 2H, ArH), 7.40 (t, $J$ = 7.5 Hz, 1H, ArH), 7.19 (s, 1H, CH), 5.51 (s, 1H, CH), 2.53 (s, 2H, $CH_2$), 1.19 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 196.2, 157.6, 147.1, 147.0, 137.5, 131.4, 127.8, 127.7, 126.7, 126.6, 125.7, 123.4, 116.8, 115.8, 52.7, 33.0, 30.1; HRMS calcd for $C_{18}H_{16}NO_2$ $[M+H]^+$: 278.1181, found 278.1175.



*3,3,9-Trimethyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*2,1*}: Yellow solid; IR (KBr, *v*, $cm^{-1}$): 2952, 1688, 1607, 1391, 1266, 1174, 951; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 7.76 (s, 1H, ArH), 7.69-7.66 (m, 1H, ArH), 7.46-7.44 (m, 2H, ArH), 7.17 (s, 1H, CH), 5.48 (s, 1H, CH), 2.53 (s, 2H, $CH_2$), 2.47 (s, 3H, $CH_3$), 1.18 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 196.2, 157.1, 147.2, 145.3, 136.9, 135.4, 133.5, 127.4, 126.8, 126.5, 123.5, 116.6, 115.4, 52.7, 32.9, 30.1, 21.3; HRMS calcd for $C_{19}H_{18}NO_2$ $[M+H]^+$: 292.1338, found: 292.1331.

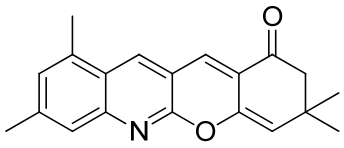


*9-Methoxy-3,3-dimethyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*3,1*}: Yellow solid; IR (KBr, *v*, $cm^{-1}$): 2958, 1679, 1394, 1265, 1190, 994; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.76 (s, 1H, ArH), 7.69 (d, $J$ = 9.2 Hz, 1H, ArH), 7.30-7.27 (m, 1H, ArH), 7.17 (s, 1H, ArH), 6.98 (s, 1H, CH), 5.47 (s, 1H, CH), 3.90 (s, 3H, $OCH_3$), 2.53 (s, 2H, $CH_2$), 1.18 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 195.3, 156.1, 146.2, 144.3, 135.9, 134.5, 132.5, 126.4, 125.8, 125.5, 122.5, 115.6, 114.4, 51.7, 31.9, 29.1, 28.7, 20.3; HRMS calcd for $C_{19}H_{18}NO_3$ $[M+H]^+$: 308.1287, found: 308.1285.

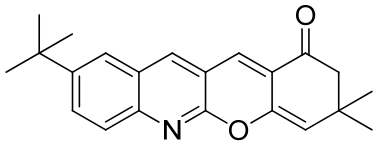


*9-Ethoxy-3,3-dimethyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*4,1*}: Yellow solid; IR (KBr, *v*, $cm^{-1}$): 2949, 1609, 1393, 1261, 1090, 978, 940; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.73 (s, 1H, ArH), 7.68 (d, $J$ = 9.2 Hz, 1H, ArH), 7.29-7.26 (m, 1H, ArH), 7.16 (s, 1H, ArH), 6.96 (s, 1H, CH), 5.47 (s, 1H, CH), 4.10 (q, $J$ = 6.8 Hz, 2H, $OCH_2$), 2.53 (s, 2H, $CH_2$), 1.47 (t, $J$ = 6.8 Hz, 3H, $CH_3$), 1.18 (s,

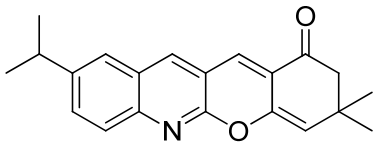
6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 196.4, 156.5, 147.2, 142.4, 136.3, 128.9, 127.3, 126.7, 123.6, 123.5, 116.6, 115.2, 106.7, 63.8, 52.7, 32.9, 30.1, 14.7; HRMS calcd for $C_{20}H_{20}NO_3$ $[M+H]^+$: 322.1443, found: 322.1425.



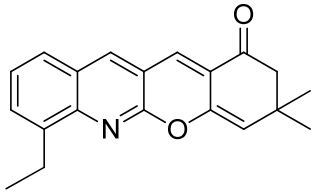
*3,3,8,10-Tetramethyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*5,1*}: Yellow solid; IR (KBr, *v*, $cm^{-1}$): 2944, 1691, 1593, 1383, 1264, 1173, 1104, 1024, 911, 809, 728; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.94 (s, 1H, ArH), 7.41 (s, 1H, ArH), 7.20 (s, 1H, ArH), 7.05 (s, 1H, CH), 5.46 (s, 1H, CH), 2.57 (s, 3H, $CH_3$), 2.52 (s, 2H, $CH_2$), 2.45 (s, 3H, $CH_3$), 1.18 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 196.5, 157.5, 147.4, 146.6, 145.9, 137.6, 131.5, 127.8, 126.9, 124.4, 123.9, 116.8, 115.7, 53.1, 34.2, 33.3, 30.4, 24.1; HRMS calcd for $C_{20}H_{20}NO_2$ $[M+H]^+$: 306.1494, found: m/z 306.1472.



*9-(Tert-butyl)-3,3-dimethyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*7,1*}: Yellow solid; IR (KBr, *v*, $cm^{-1}$): 2958, 1712, 1606, 1389, 1254, 1158, 988, 824, 730; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 7.83 (s, 1H, ArH), 7.73 (s, 2H, ArH), 7.60 (s, 1H, ArH), 7.19 (s, 1H, CH), 5.48 (s, 1H, CH), 2.53 (s, 2H, $CH_2$), 1.39 (s, 9H, $C(CH_3)_3$), 1.18 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (75 MHz, $CDCl_3$) $\delta$ (ppm): 194.1, 152.5, 144.3, 142.1, 140.9, 133.3, 126.0, 122.7, 121.8, 121.7, 118.6, 108.9, 42.1, 35.9, 30.3, 27.6, 26.6, 20.1, 18.6; HRMS calcd for $C_{22}H_{24}NO_2$ $[M+H]^+$: 334.1807, found: 334.1790.

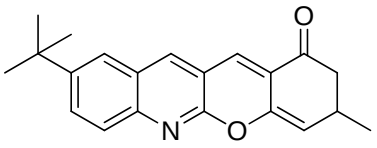


*9-Isopropyl-3,3-dimethyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*8,1*}: Yellow solid; IR (KBr, *v*, $cm^{-1}$): 2955, 1605, 1390, 1261, 1088, 927, 812; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 7.81 (s, 1H, ArH), 7.73-7.70 (m, 1H, ArH), 7.55-7.52 (m, 1H, ArH), 7.47 (s, 1H, ArH), 7.18 (s, 1H, CH), 5.48 (s, 1H, CH), 3.05-2.98 (m, 1H, CH), 2.53 (s, 2H, $CH_2$), 1.32 (d $J$ = 8.8 Hz, 6H, $(CH_3)_2C$), 1.18 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 191.7 , 152.7 , 142.7, 141.8, 141.1, 132.7, 126.7, 123.0, 122.0, 119.6, 119.1, 112.0, 110.9, 48.2, 29.3, 28.4, 25.6, 19.3; HRMS calcd for $C_{21}H_{22}NO_2$ $[M+H]^+$: 320.1651, found: 320.1633.

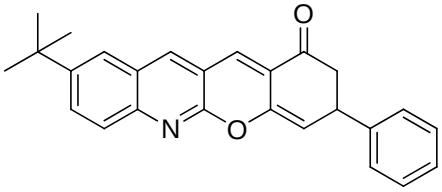


*7-Ethyl-3,3-dimethyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*9,1*}: Yellow solid; IR (KBr, *v*, $cm^{-1}$): 2947, 1607, 1384, 1258, 1156, 1029, 936, 823; $^1H$ NMR (300 MHz,$CDCl_3$) $\delta$ (ppm): 7.83 (s, 1H, ArH),

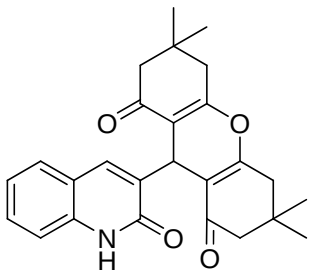
7.53-7.49 (m, 2H, ArH), 7.35-7.30 (m, 1H, ArH), 7.19 (s, 1H, CH), 5.55 (s, 1H, CH), 3.15 (q, $J$ = 7.5 Hz, 2H, $CH_2$), 2.53 (s, 2H, $CH_2$), 1.33 (t, $J$ = 7.5 Hz, 3H, $CH_3$), 1.18 (s, 6H, 2 × $CH_3$); $^{13}$C NMR (101 MHz, $CDCl_3$) $\delta$ (ppm): 196.3, 147.3, 141.5, 138.0, 130.1, 126.7, 126.6, 125.7, 125.5, 123.6, 116.3, 115.6, 52.7, 33.0, 30.1, 24.3, 14.8; HRMS calcd for $C_{20}H_{19}NO_2$ $[M]^+$: 305.1416, found: 305.1432.



*9-(Tert-butyl)-3-methyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*7,2*}: Yellow solid; IR (KBr, $v$, $cm^{-1}$): 2963, 1636, 1415, 1261, 1096, 1029, 943, 823; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.64 (s, 1H, ArH), 7.38-7.34 (m, 2H, ArH), 7.28 (s, 2H, ArH), 5.74 (s, 1H, CH), 3.04-2.98 (m, 1H, CH), 2.77-2.71 (m, 1H, CH), 1.97-1.90 (m, 1H, CH), 1.42 (s, 9H, $C(CH_3)_3$), 0.86-0.85 (m, 3H, $CH_3$); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 194.6, 167.0, 148.7, 146.2, 142.1, 139.3, 135.0, 128.8, 127.0, 124.2, 123.3, 120.2, 47.4, 34.6, 31.1, 21.0, 19.9; HRMS calcd for $C_{21}H_{22}NO_2$ $[M+H]^+$: 320.1651, found: 320.1633.

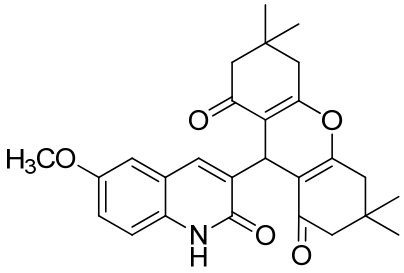


*9-(Tert-butyl)-3-phenyl-2,3-dihydro-1H-chromeno[2,3-b]quinolin-1-one* **5**{*7,3*}: Yellow solid; IR (KBr, $v$, $cm^{-1}$): 2953, 1633, 1503, 1447, 1345, 1197, 1212, 1001, 943, 834, 764; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 7.91 (s, 1H, ArH), 7.80-7.75 (m, 2H, ArH), 7.65 (s, 1H, ArH), 7.38-7.35 (m, 3H, ArH), 7.30-7.28 (m, 3H, ArH), 5.74 (s, 1H, CH), 3.04-2.99 (m, 1H, CH), 2.77-2.72 (m, 1H, CH), 1.42 (s, 9H, $C(CH_3)_3$), 1.37-1.36 (m, 1H, CH); $^{13}$C NMR (150 MHz, $CDCl_3$) $\delta$ (ppm): 194.7, 167.0, 155.6, 148.7, 146.3, 142.1, 139.3, 132.5, 131.2, 130.6, 128.8, 128.5, 127.0, 126.8, 124.1, 123.3, 120.1, 114.7, 47.5, 38.5, 34.6, 31.2; HRMS calcd for $C_{26}H_{24}NO_2$ $[M+H]^+$: 382.1807, found: 382.1825.

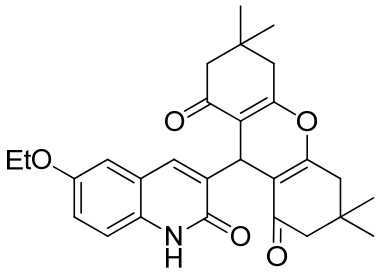


*3,3,6,6-Tetramethyl-9-(2-oxo-1,2-dihydroquinolin-3-yl)-3,4,5,6,7,9-hexahydro-1H-xanthene-1,8(2H)-dione* **6**{*1,1*}: White solid; IR (KBr, $v$, $cm^{-1}$): 3036, 2957, 1663, 1434, 1361, 1199, 1124, 1002, 923, 761; $^1$H NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 13.19(s, 1H, NH), 8.11(s, 1H, CH), 7.65(d, $J$ = 7.6 Hz, 1H, ArH), 7.46(t, $J$ = 7.2 Hz, 1H, ArH), 7.33(d, $J$ = 7.6 Hz, 1H, ArH), 7.19(t, $J$ = 7.6 Hz, 1H, ArH), 4.82(s, 1H, CH), 2.47(s, 4H, 2 × $CH_2$), 2.25-2.12(m, 4H, 2 × $CH_2$), 1.05(s, 6H, 2 × $CH_3$), 0.87(s, 6H, 2 × $CH_3$); $^{13}$C NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 165.0, 163.5, 141.3, 138.4, 130.5, 129.6, 128.8, 122.3, 120.5, 115.2, 111.7, 51.1, 41.2, 32.4, 31.4, 29.5, 27.2; HRMS calcd

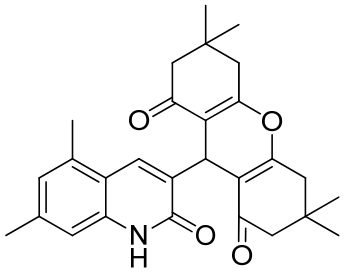
for $C_{26}H_{27}NNaO_4$ $[M+Na]^+$: 440.1838, found: 440.1841.



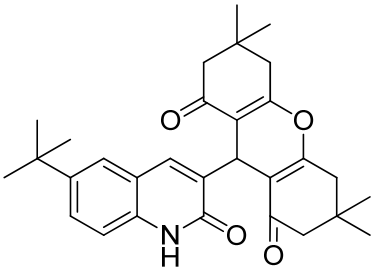
*9-(6-Methoxy-2-oxo-1,2-dihydroquinolin-3-yl)-3,3,6,6-tetramethyl -3,4,5,6,7,9-hexahydro-1H-xanthene-1,8(2H)-dione* **6**{*3,1*}: White solid; IR (KBr, *v*, $cm^{-1}$): 3058, 2952, 2866, 1660, 1499, 1362, 1207, 1134, 1009, 911, 827; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 13.20 (s, 1H, NH), 8.06 (s, 1H, CH), 7.25 (d, $J$ = 8.8 Hz, 1H, ArH), 7.12-7.08 (m, 2H, ArH), 4.80 (s, 1H, CH), 3.86 (s, 3H, $OCH_3$), 2.46 (s, 4H, 2 × $CH_2$), 2.25-2.12 (m, 4H, 2 × $CH_2$), 1.05 (s, 6H, 2 × $CH_3$), 0.88 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 165.0, 163.1, 154.9, 140.8, 133.2, 130.7, 120.9, 120.0, 116.5, 111.7, 108.9, 55.9, 51.1, 41.2, 32.4, 31.5, 29.5, 27.2; HRMS calcd for $C_{27}H_{29}NNaO_5$ $[M+Na]^+$: 470.1943, found: 470.1944.



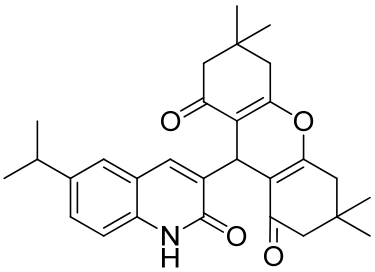
*9-(6-Ethoxy-2-oxo-1,2-dihydroquinolin-3-yl)-3,3,6,6-tetramethyl-3,4 ,5,6,7,9-hexahydro-1H-xanthene-1,8(2H)-dione* **6**{*4,1*}: White solid; IR (KBr, *v*, $cm^{-1}$): 3041, 2948, 1660, 1520, 1360, 1208, 1112, 1021, 918, 778; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 13.06 (s, 1H, NH), 8.04 (s, 1H, CH), 7.23 (d, $J$ = 8.8 Hz, 1H, ArH), 7.10 (d, $J$ = 8.8 Hz, 1H, ArH), 7.06 (s, 1H, ArH), 4.79 (s, 1H, CH), 4.08 (q, $J$ = 6.8 Hz, 2H, $OCH_2$), 2.45 (s, 4H, 2 × $CH_2$), 2.24-2.12 (m, 4H, 2 × $CH_2$), 1.46 (t, $J$ = 6.8 Hz, 3H, $CH_3$), 1.05 (s, 6H, 2 × $CH_3$), 0.88 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 165.0, 163.4, 145.1, 141.6, 136.4, 130.1, 127.6, 124.7, 120.1, 115.0, 111.7, 51.1, 41.2, 34.7, 32.4, 31.7, 31.4, 29.5, 27.1; HRMS calcd for $C_{28}H_{31}NNaO_5$ $[M+Na]^+$: 484.2100, found: 484.2118.



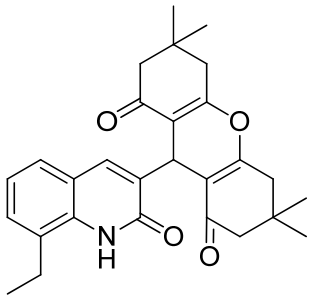
*9-(5,7-Dimethyl-2-oxo-1,2-dihydroquinolin-3-yl)-3,3,6,6-tetramethyl-3, 4,5,6,7,9-hexahydro-1H-xanthene-1,8(2H)-dione* **6**{*5,1*}: White solid; IR (KBr, *v*, $cm^{-1}$): 3053, 2954, 1663, 1465, 1363, 1202, 1129, 1001, 922, 775; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 9.95 (s, 1H, NH), 7.97 (s, 1H, CH), 7.28 (s, 1H, ArH), 7.10 (s, 1H, ArH), 4.72 (s, 1H, CH), 2.47 (s, 3H, 3 × CH), 2.35 (s, 6H, 2 × $CH_3$), 2.24-1.99 (m, 5H, 5 × CH), 1.01 (s, 6H, 2 × $CH_3$), 0.81 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.2, 164.5, 162.0, 141.8, 134.7, 132.3, 131.3, 130.2, 126.4, 122.2, 120.2, 118.3, 111.8, 51.1, 41.0, 32.2, 31.4, 29.6, 27.1, 21.0, 16.9; HRMS calcd for $C_{28}H_{32}NO_4$ $[M+H]^+$: M 446.2331, found: 446.2331.



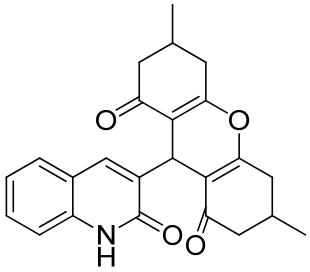
*9-(6-(Tert-butyl)-2-oxo-1,2-dihydroquinolin-3-yl)-3,3,6,6-tetramethyl -3,4,5,6,7,9-hexahydro-1H-xanthene-1,8(2H)-dione* **6**{*7,1*}: White solid; IR (KBr, *v*, $cm^{-1}$): 3036, 2954, 1661, 1493, 1363, 1190, 912, 827; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 12.61 (s, 1H, NH), 8.09 (s, 1H, CH), 7.62 (s, 1H, ArH), 7.52-7.49 (m, 1H, ArH), 7.26-7.21 (m, 1H, ArH), 4.80 (s, 1H, CH), 2.47 (s, 4H, 2 × $CH_2$), 2.24-2.11 (m, 4H, 2 × $CH_2$), 1.37 (s, 9H, $C(CH_3)_3$), 1.05 (s, 6H, 2 × $CH_3$), 0.86 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 165.0, 163.0, 154.2, 140.8, 133.2, 130.6, 121.0, 120.4, 116.5, 111.7, 109.8, 64.1, 51.1, 41.2, 32.4, 31.5, 29.5, 27.2, 15.1; HRMS calcd for $C_{30}H_{35}NNaO_4$ $[M+Na]^+$: 496.2464, found: 496.2450.



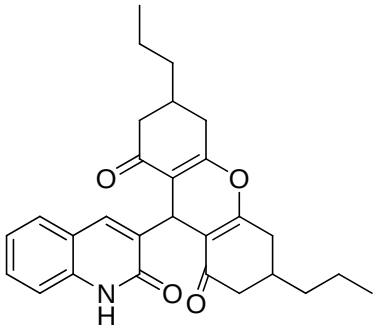
*9-(6-Isopropyl-2-oxo-1,2-dihydroquinolin-3-yl)-3,3,6,6-tetramethyl-3,4,5,6,7,9-hexahydro-1H-xanthene-1,8(2H)-dione* **6**{*8,1*}: White solid; IR (KBr, *v*, $cm^{-1}$): 3043, 2960, 2727, 1663, 1472, 1361, 1197, 1002, 924, 818; $^1H$ NMR (300 MHz, $CDCl_3$) $\delta$ (ppm): 12.91 (s, 1H, NH), 8.08 (s, 1H, CH), 7.49 (s, 1H, ArH), 7.35-7.25 (m, 2H, ArH), 4.80 (s, 1H, CH), 3.00-2.96 (m, 1H, CH), 2.47 (s, 4H, 2 × $CH_2$), 2.25-2.10 (m, 4H, 2 × $CH_2$), 1.30 (s, 6H, 2 × $CH_3$), 1.05 (s, 6H, 2 × $CH_3$), 0.86 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 165.0, 163.3, 142.9, 141.3, 136.7, 130.2, 128.8, 125.7, 120.4, 115.2, 111.7, 51.1, 41.2, 33.9, 32.4, 31.4, 29.5, 27.1, 24.4; HRMS calcd for $C_{29}H_{33}NNaO_4$ $[M+Na]^+$: 482.2307, found: 482.2345.



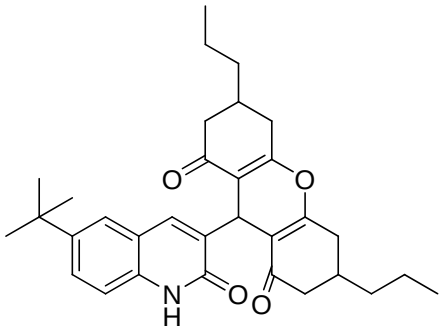
*9-(8-Ethyl-2-oxo-1,2-dihydroquinolin-3-yl)-3,3,6,6-tetramethyl-3,4,5,6,7,9 -hexahydro-1H-xanthene-1,8(2H)-dione* **6**{*9,1*}: White solid; IR (KBr, *v*, $cm^{-1}$): 3046, 2959, 2874, 1662, 1466, 1360, 1188, 999, 758; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 13.06 (s, 1H, NH), 8.04 (s, 1H, CH), 7.49 (d, *J* = 7.6 Hz, 1H, ArH), 7.28 (d, *J* = 7.2 Hz, 1H, ArH), 7.12 (t, *J* = 7.6 Hz,1H, ArH), 4.75 (s, 1H, CH), 2.84-2.80 (m, 2H, $CH_2$), 2.38 (s, 4H, 2 × $CH_2$), 2.23-2.11 (m, 4H, 2 × $CH_2$), 1.30 (t, *J* = 7.6 Hz, 3H, $CH_3$), 1.04 (s, 6H, 2 × $CH_3$), 0.88 (s, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.2, 164.7, 161.9, 142.0, 136.0, 130.3, 128.8, 128.1, 126.8, 122.2, 120.3, 111.7, 51.0, 41.0, 32.1, 31.3, 29.6, 27.0, 23.0, 13.8; HRMS calcd for $C_{28}H_{32}NO_4$ $[M+H]^+$: 446.2331, found: 446.2302.



*3,6-Dimethyl-9-(2-oxo-1,2-dihydroquinolin-3-yl)-3,4,5,6,7,9-hexahydro-1 H-xanthene-1,8(2H)-dione* **6**{*1,2*}: White solid; IR (KBr, *v*, $cm^{-1}$): 2959, 1657, 1366, 1196, 1134, 946, 764; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 13.09 (s, 1H, NH), 8.15-8.11 (m, 1H, ArH), 7.66-7.64 (m, 1H, ArH), 7.44 (t, *J* = 7.2 Hz, 1H, ArH), 7.32-7.28 (m, 1H, ArH), 7.18 (t, *J* = 7.2 Hz, 1H, ArH), 4.83 (s, 1H, CH), 2.72-2.60 (m, 2H, 2 × CH), 2.48-2.28 (m, 6H, 6 × CH), 2.08-2.01 (m, 2H, 2 × CH), 1.03-1.02 (m, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 166.1, 165.4, 163.4, 141.2, 138.2, 130.3, 129.3, 128.5, 122.1, 120.3, 114.9, 112.6, 112.3, 112.1, 45.4, 45.1, 35.6, 35.0, 31.4, 28.4, 27.9, 20.8, 18.4; HRMS calcd for $C_{24}H_{24}NO_4$ $[M+H]^+$: 390.1705, found: 390.1706.



*9-(2-Oxo-1,2-dihydroquinolin-3-yl)-3,6-dipropyl-3,4,5,6,7,9-hexahy dro-1H-xanthene-1,8(2H)-dione* **6**{*1,4*}: White solid; IR (KBr, *v*, $cm^{-1}$): 2956, 1668, 1433, 1367, 1188, 1136, 993, 765; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 13.15 (s, 1H, NH), 8.15-8.11 (m, 1H, ArH), 7.65 (d, *J* = 8.0 Hz, 1H, ArH), 7.46-7.43 (m, 1H, ArH), 7.32 (d, *J* = 8.0 Hz, 1H, ArH), 7.21-7.17 (m, 1H, ArH), 4.83 (s, 1H, CH), 2.74-2.59 (m, 2H, 2 × CH), 2.49-2.38 (m, 3H, 3 × CH), 2.35-2.28 (m, 1H, CH), 2.18 (s, 1H, CH), 2.08-1.98 (m, 3H, 3 × CH), 1.31-1.25 (m, 8H, 4 × $CH_2$), 0.84-0.77 (m, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.3, 166.1, 165.5, 163.5, 141.1, 138.3, 130.3, 129.4, 128.5, 122.1, 120.3, 114.9, 112.7, 112.4, 112.1, 43.5, 37.7, 37.3, 33.9, 33.2, 32.5, 31.4, 19.5, 14.1; HRMS calcd for $C_{28}H_{31}KNO_4$ $[M+K]^+$: 484.1890, found: 484.1891.



*9-(6-(Tert-butyl)-2-oxo-1,2-dihydroquinolin-3-yl)-3,6-dipropyl-3,4,5,6,7,9-hexahydro-1H-xanthene-1,8(2H)-dione* **6**{*7,4*}: White solid; IR (KBr, *v*, $cm^{-1}$): 2953, 1632, 1447, 1346, 1246, 1002, 943, 834, 764; $^1H$ NMR (400 MHz, $CDCl_3$) $\delta$ (ppm): 12.76 (s, 1H, NH), 8.17-8.14 (m, 1H, ArH), 7.64 (s, 1H, ArH), 7.53-7.50 (m, 1H, ArH), 7.24 (d, *J* = 8.8 Hz, 1H, ArH), 4.83 (s, 1H, CH), 2.77-2.62 (m, 2H, 2 × CH), 2.44-2.28 (m, 4H, 4 × CH), 2.17-1.99 (m, 4H, 4 × CH), 1.37 (s, 9H, $C(CH_3)_3$), 1.35-1.24 (m, 8H, 4 × $CH_2$), 0.86-0.81 (m, 6H, 2 × $CH_3$); $^{13}C$ NMR (100 MHz, $CDCl_3$) $\delta$ (ppm): 197.4, 166.0, 165.5, 163.1, 145.1, 141.7, 136.0, 130.0, 127.5, 124.5, 120.0, 114.6, 112.4, 43.6,

37.8, 37.4, 34.4, 33.9, 33.2, 32.5, 31.4, 19.5, 14.0; HRMS calcd for $C_{32}H_{40}NO_4$ $[M+H]^+$: 502.2957, found: 502.2957.

## Crystal structures of compound

### Crystal data for **5**{*1,1*}

$C_{18}H_{15}NO_2$; M = 277.31, colorless, block crystals, 0.40 mm × 0.18 mm × 0.12 mm, Monoclinic, space group $P_21/c$, $a$ = 15.5160(16) Å, $b$ = 7.5160(8) Å, $c$ = 12.3310(12) Å, $\alpha$ = 90º, $\beta$ = 92.5230(10)º, $\gamma$ = 90º, $V$ = 1436.6(3) Å$^3$, $Z$ = 4, $D_c$ = 1.282 g·cm$^{-3}$, $F$(000) = 584, $\mu$ (Mo$K\alpha$) = 0.084 mm$^{-1}$. Intensity data were collected on a diffractometer with graphite monochromated Mo$K\alpha$ radiation (λ = 0.71073 Å) using $\omega$ scan mode with 2.63 º< θ < 25.02 º. 6985 unique reflections were measured and 2522 reflections with $I>2\sigma(I)$ were used in the refinement. The structure was solved by direct methods and expanded using Fourier techniques. The final cycle of full-matrix least squares technique to $R$ = 0.0488 and $wR$ = 0.0900.

**Table 1** Selected bond lengths (Å) of compound **5**{*1,1*}

| Bond | Bond Lengths | Bond | Bond Lengths | Bond | Bond Lengths |
|---|---|---|---|---|---|
| N(1)-C(1) | 1.295(3) | C(3)-C(4) | 1.365(3) | C(11)-C(16) | 1.453(3) |
| N(1)-C(2) | 1.389(3) | C(4)-C(5) | 1.408(3) | C(11)-C(12) | 1.499(4) |
| O(1)-C(1) | 1.377(3) | C(5)-C(6) | 1.370(3) | C(12)-C(13) | 1.499(4) |
| O(1)-C(16) | 1.400(3) | C(6)-C(7) | 1.408(3) | C(13)-C(14) | 1.540(4) |
| O(2)-C(12) | 1.219(3) | C(7)-C(8) | 1.420(3) | C(14)-C(15) | 1.513(4) |
| C(1)-C(9) | 1.434(3) | C(8)-C(9) | 1.373(3) | C(14)-C(17) | 1.532(3) |
| C(2)-C(3) | 1.413(3) | C(9)-C(10) | 1.447(3) | C(14)-C(18) | 1.556(4) |
| C(2)-C(7) | 1.414(3) | C(10)-C(11) | 1.347(3) | C(15)-C(16) | 1.327(3) |

**Table 2** Selected bond angles (°) of compound **5**{*1,1*}

| Angles | (°) | Angles | (°) |
|---|---|---|---|
| C(1)-N(1)-C(2) | 117.1(2) | C(11)-C(10)-C(9) | 120.1(3) |
| C(1)-O(1)-C(16) | 120.7(2) | C(10)-C(11)-C(16) | 121.1(3) |
| N(1)-C(1)-O(1) | 114.0(2) | C(10)-C(11)-C(12) | 122.0(3) |
| N(1)-C(1)-C(9) | 125.8(2) | C(16)-C(11)-C(12) | 117.0(3) |
| O(1)-C(1)-C(9) | 120.2(2) | O(2)-C(12)-C(11) | 121.6(3) |
| N(1)-C(2)-C(3) | 118.8(2) | O(2)-C(12)-C(13) | 122.9(3) |
| N(1)-C(2)-C(7) | 122.3(2) | C(11)-C(12)-C(13) | 115.5(3) |

| | | | |
|---|---|---|---|
| C(3)-C(2)-C(7) | 118.8(2) | C(12)-C(13)-C(14) | 114.8(2) |
| C(4)-C(3)-C(2) | 120.2(3) | C(15)-C(14)-C(17) | 110.6(3) |
| C(3)-C(4)-C(5) | 121.1(3) | C(15)-C(14)-C(13) | 109.4(2) |
| C(6)-C(5)-C(4) | 119.5(3) | C(17)-C(14)-C(13) | 110.1(3) |
| C(5)-C(6)-C(7) | 120.7(3) | C(15)-C(14)-C(18) | 108.8(2) |
| C(6)-C(7)-C(2) | 119.5(3) | C(17)-C(14)-C(18) | 108.9(3) |
| C(6)-C(7)-C(8) | 122.7(3) | C(13)-C(14)-C(18) | 108.9(2) |
| C(2)-C(7)-C(8) | 117.7(2) | C(16)-C(15)-C(14) | 122.1(3) |
| C(9)-C(8)-C(7) | 120.1(2) | C(15)-C(16)-O(1) | 117.5(3) |
| C(8)-C(9)-C(1) | 117.0(3) | C(15)-C(16)-C(11) | 124.2(3) |
| C(8)-C(9)-C(10) | 124.6(3) | O(1)-C(16)-C(11) | 118.3(2) |
| C(1)-C(9)-C(10) | 118.4(2) | | |

## Crystal data for **6**{*8,1*}

$C_{29}H_{33}NO_4$; M = 459.56, colorless, block crystals, 0.50 mm × 0.25 mm × 0.20 mm, Monoclinic, space group $P2_1/n$, $a$ = 12.9044(9) Å, $b$ = 12.0994(5) Å, $c$ = 17.6179(10) Å, $\alpha$ = 90º, $\beta$ = 110.51º, $\gamma$ = 90º, $V$ = 2576.4(3) Å$^3$, $Z$ = 4, $D_c$ = 1.185 g·cm$^{-3}$, $F$(000) = 984, $\mu$ (Mo$K\alpha$) = 0.078 mm$^{-1}$. Intensity data were collected on a diffractometer with graphite monochromated Mo$K\alpha$ radiation (λ = 0.71073 Å) using $\omega$ scan mode with 3.41 º< θ < 25.12 º. 12276 unique reflections were measured and 4588 reflections with $I>2\sigma(I)$ were used in the refinement. The structure was solved by direct methods and expanded using Fourier techniques. The final cycle of full-matrix least squares technique to $R$ = 0.0456 and $wR$ = 0.1073.

**Table 3** Selected bond lengths (Å) of compound **6**{*8,1*}

| Bond | Bond Lengths | Bond | Bond Lengths | Bond | Bond Lengths |
|---|---|---|---|---|---|
| O(1)-C(1) | 1.2464(19) | C(4)-C(5) | 1.404(2) | C(16)-C(17) | 1.528(3) |
| O(2)-C(15) | 1.222(2) | C(5)-C(6) | 1.381(2) | C(17)-C(27) | 1.527(3) |
| O(3)-C(20) | 1.376(2) | C(6)-C(7) | 1.401(3) | C(17)-C(26) | 1.530(3) |
| O(3)-C(19) | 1.3813(19) | C(6)-C(10) | 1.517(2) | C(17)-C(18) | 1.535(3) |
| O(4)-C(24) | 1.225(2) | C(7)-C(8) | 1.377(2) | C(18)-C(19) | 1.490(2) |
| N(1)-C(1) | 1.362(2) | C(8)-C(9) | 1.395(2) | C(20)-C(25) | 1.335(2) |
| N(1)-C(9) | 1.377(2) | C(10)-C(11) | 1.525(3) | C(20)-C(21) | 1.486(2) |
| N(1)-H(1) | 0.883(9) | C(10)-C(12) | 1.526(3) | C(21)-C(22) | 1.535(2) |
| C(1)-C(2) | 1.460(2) | C(13)-C(25) | 1.505(2) | C(22)-C(23) | 1.525(3) |

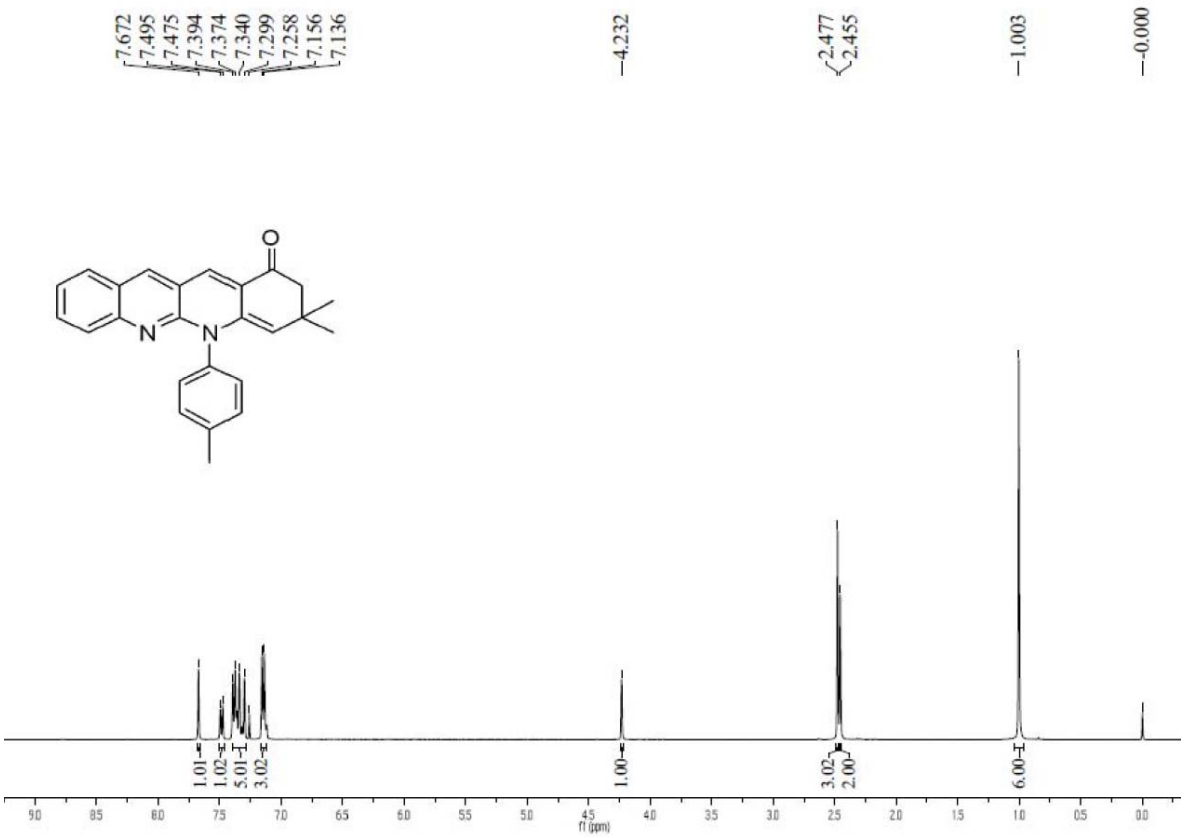
| | | | | | |
|---|---|---|---|---|---|
| C(2)-C(3) | 1.348(2) | C(13)-C(14) | 1.511(2) | C(22)-C(28) | 1.528(3) |
| C(2)-C(13) | 1.520(2) | C(14)-C(19) | 1.334(2) | C(22)-C(29) | 1.531(3) |
| C(3)-C(4) | 1.432(2) | C(14)-C(15) | 1.469(2) | C(23)-C(24) | 1.510(3) |
| C(4)-C(9) | 1.401(2) | C(15)-C(16) | 1.509(3) | C(24)-C(25) | 1.463(2) |

**Table 4** Selected bond angles (°) of compound **6**{*8,1*}

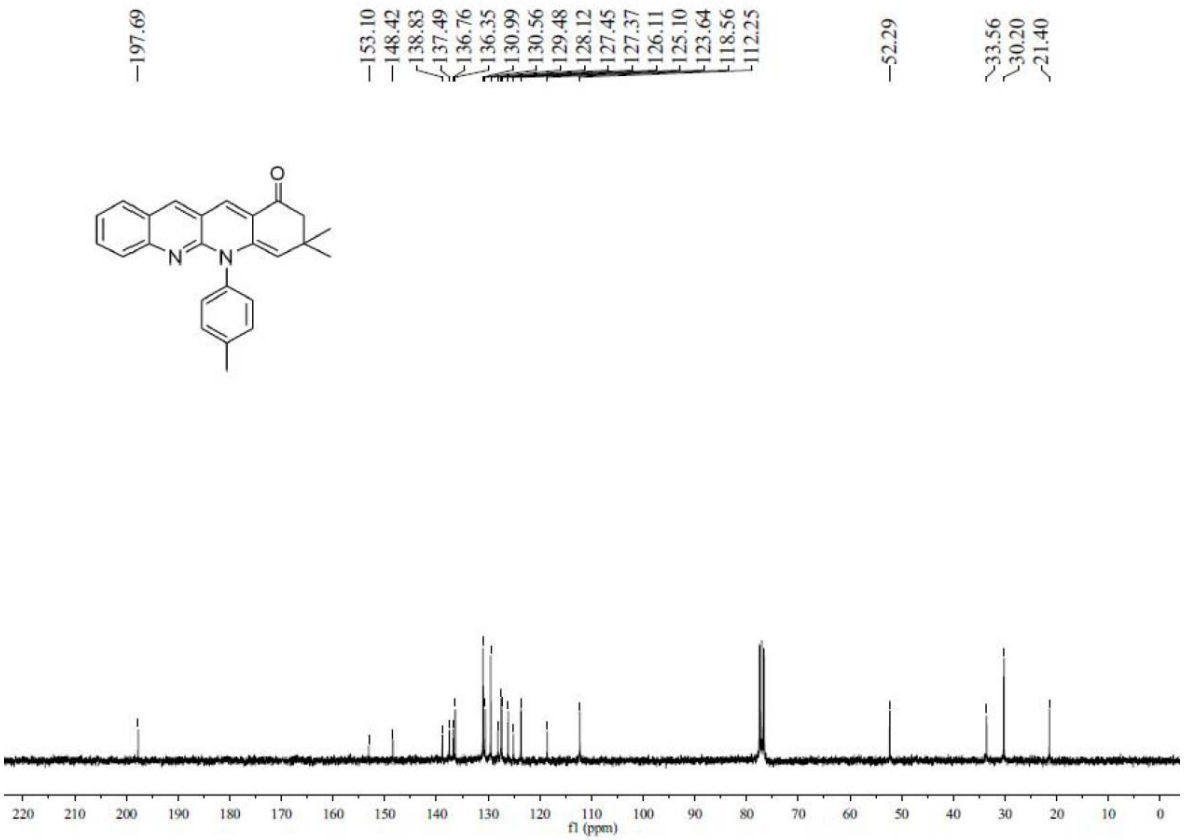
| Angles | (°) | Angles | (°) |
|---|---|---|---|
| C(20)-O(3)-C(19) | 117.52(13) | O(2)-C(15)-C(14) | 120.66(16) |
| C(1)-N(1)-C(9) | 125.19(14) | O(2)-C(15)-C(16) | 121.66(16) |
| C(1)-N(1)-H(1) | 115.2(12) | C(14)-C(15)-C(16) | 117.62(15) |
| C(9)-N(1)-H(1) | 119.5(12) | C(15)-C(16)-C(17) | 114.54(15) |
| O(1)-C(1)-N(1) | 120.46(14) | C(27)-C(17)-C(16) | 110.24(16) |
| O(1)-C(1)-C(2) | 123.08(14) | C(27)-C(17)-C(26) | 108.54(17) |
| N(1)-C(1)-C(2) | 116.46(14) | C(16)-C(17)-C(26) | 110.11(17) |
| C(3)-C(2)-C(1) | 119.32(14) | C(27)-C(17)-C(18) | 109.86(17) |
| C(3)-C(2)-C(13) | 122.57(14) | C(16)-C(17)-C(18) | 108.52(15) |
| C(1)-C(2)-C(13) | 118.10(14) | C(26)-C(17)-C(18) | 109.56(16) |
| C(2)-C(3)-C(4) | 122.60(15) | C(19)-C(18)-C(17) | 112.13(14) |
| C(9)-C(4)-C(5) | 118.71(15) | C(14)-C(19)-O(3) | 122.92(14) |
| C(9)-C(4)-C(3) | 117.81(15) | C(14)-C(19)-C(18) | 126.17(15) |
| C(5)-C(4)-C(3) | 123.46(15) | O(3)-C(19)-C(18) | 110.92(14) |
| C(6)-C(5)-C(4) | 122.09(16) | C(25)-C(20)-O(3) | 123.11(15) |
| C(5)-C(6)-C(7) | 117.39(16) | C(25)-C(20)-C(21) | 125.52(15) |
| C(5)-C(6)-C(10) | 121.73(16) | O(3)-C(20)-C(21) | 111.37(14) |
| C(7)-C(6)-C(10) | 120.78(16) | C(20)-C(21)-C(22) | 111.94(14) |
| C(8)-C(7)-C(6) | 122.42(16) | C(23)-C(22)-C(28) | 109.90(16) |
| C(7)-C(8)-C(9) | 119.31(16) | C(23)-C(22)-C(29) | 110.56(16) |
| N(1)-C(9)-C(8) | 121.38(15) | C(28)-C(22)-C(29) | 109.09(16) |
| N(1)-C(9)-C(4) | 118.54(14) | C(23)-C(22)-C(21) | 107.49(14) |
| C(8)-C(9)-C(4) | 120.06(16) | C(28)-C(22)-C(21) | 109.51(16) |
| C(6)-C(10)-C(11) | 113.62(16) | C(29)-C(22)-C(21) | 110.27(15) |
| C(6)-C(10)-C(12) | 109.30(15) | C(24)-C(23)-C(22) | 114.95(14) |
| C(11)-C(10)-C(12) | 110.59(18) | O(4)-C(24)-C(25) | 120.76(16) |
| C(25)-C(13)-C(14) | 108.84(13) | O(4)-C(24)-C(23) | 121.10(15) |
| C(25)-C(13)-C(2) | 110.83(13) | C(25)-C(24)-C(23) | 118.10(15) |

| | | | |
|---|---|---|---|
| C(14)-C(13)-C(2) | 112.35(13) | C(20)-C(25)-C(24) | 118.57(15) |
| C(19)-C(14)-C(15) | 118.85(15) | C(20)-C(25)-C(13) | 122.23(14) |
| C(19)-C(14)-C(13) | 122.31(15) | C(24)-C(25)-C(13) | 119.15(15) |
| C(15)-C(14)-C(13) | 118.82(14) | | |

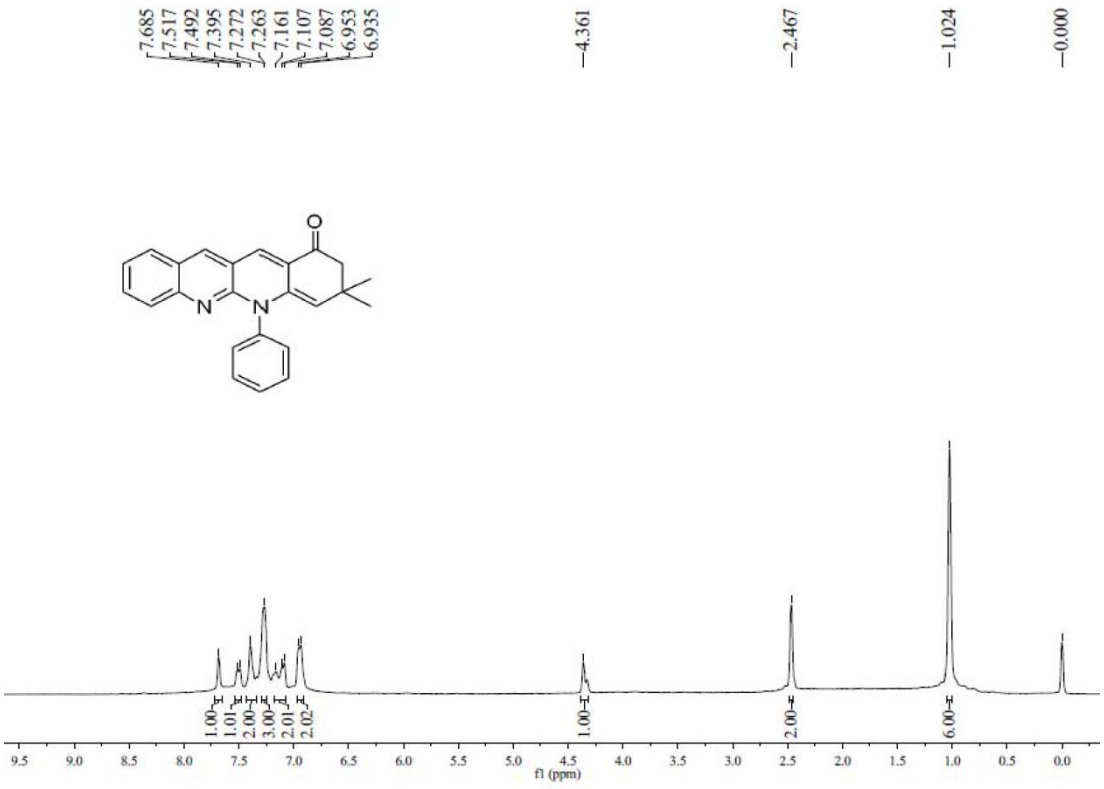
$^{1}$H NMR of compound **3**{*1*,*1*}



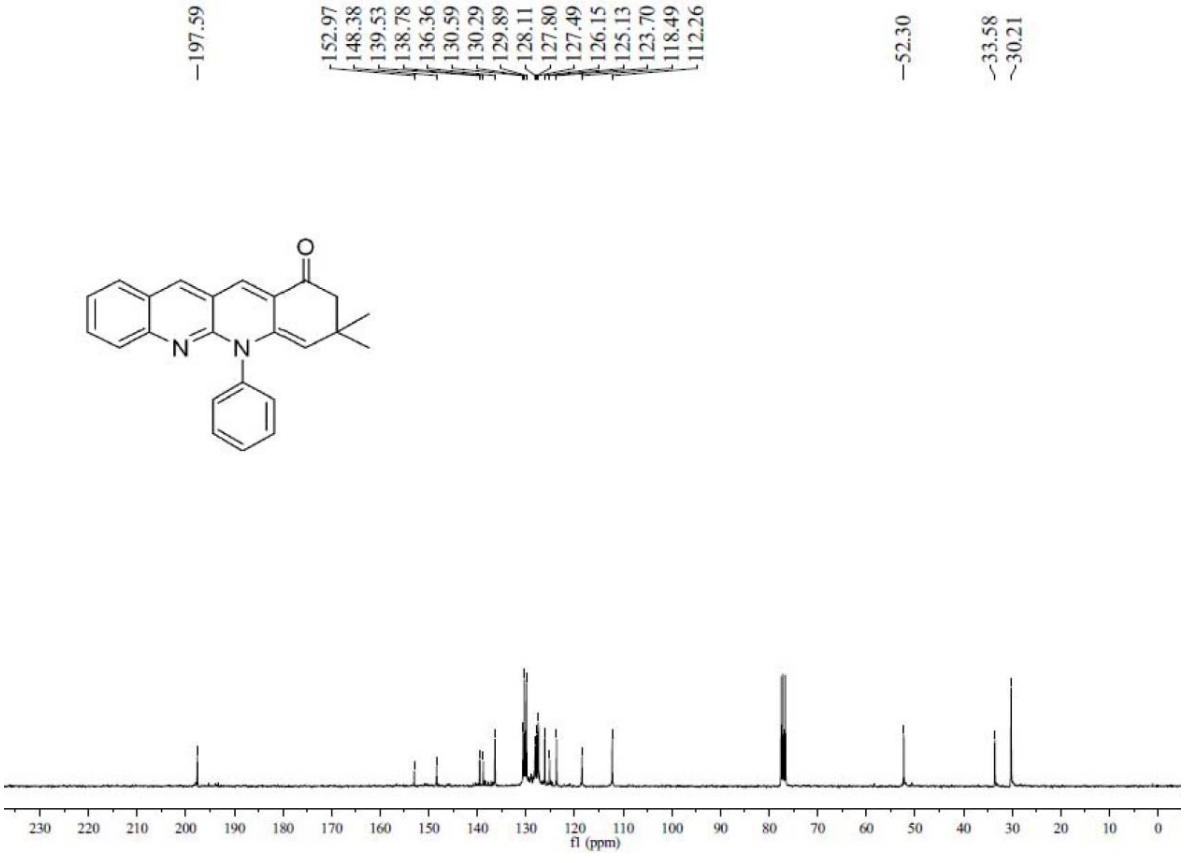

$^{13}$C NMR of compound **3**{*1*,*1*}



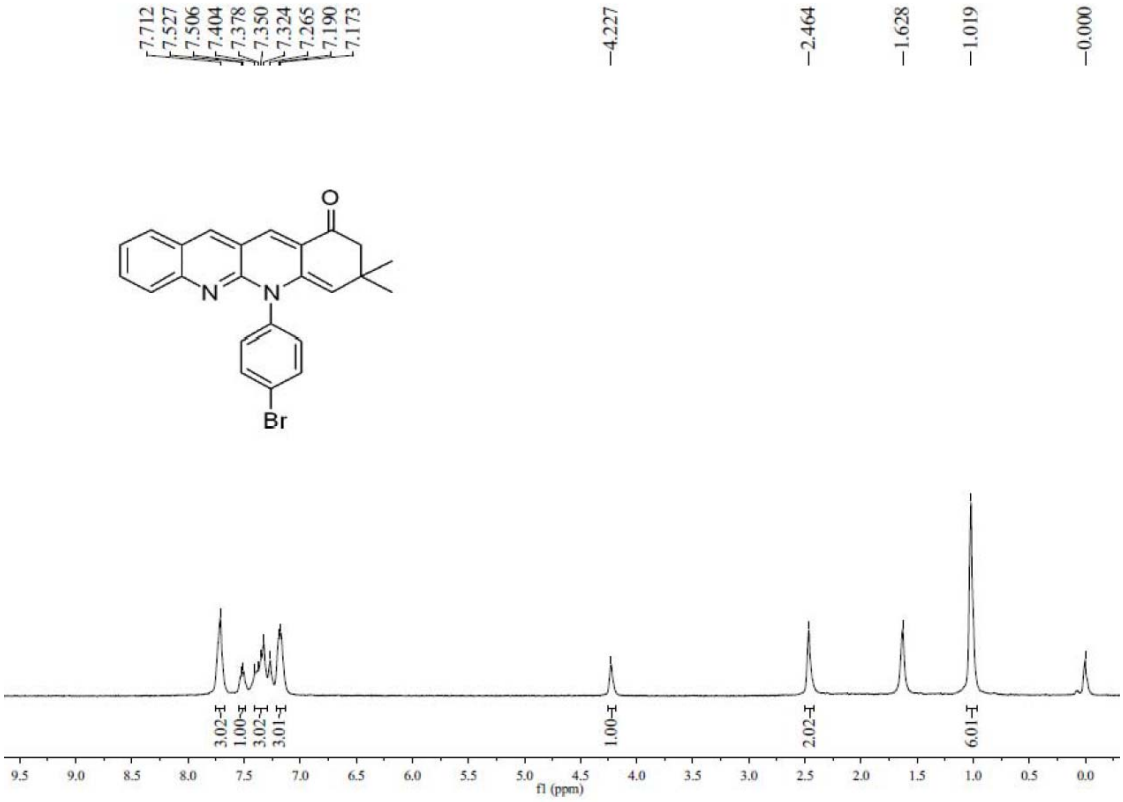
$^{1}$H NMR of compound **3**{*1,2*}



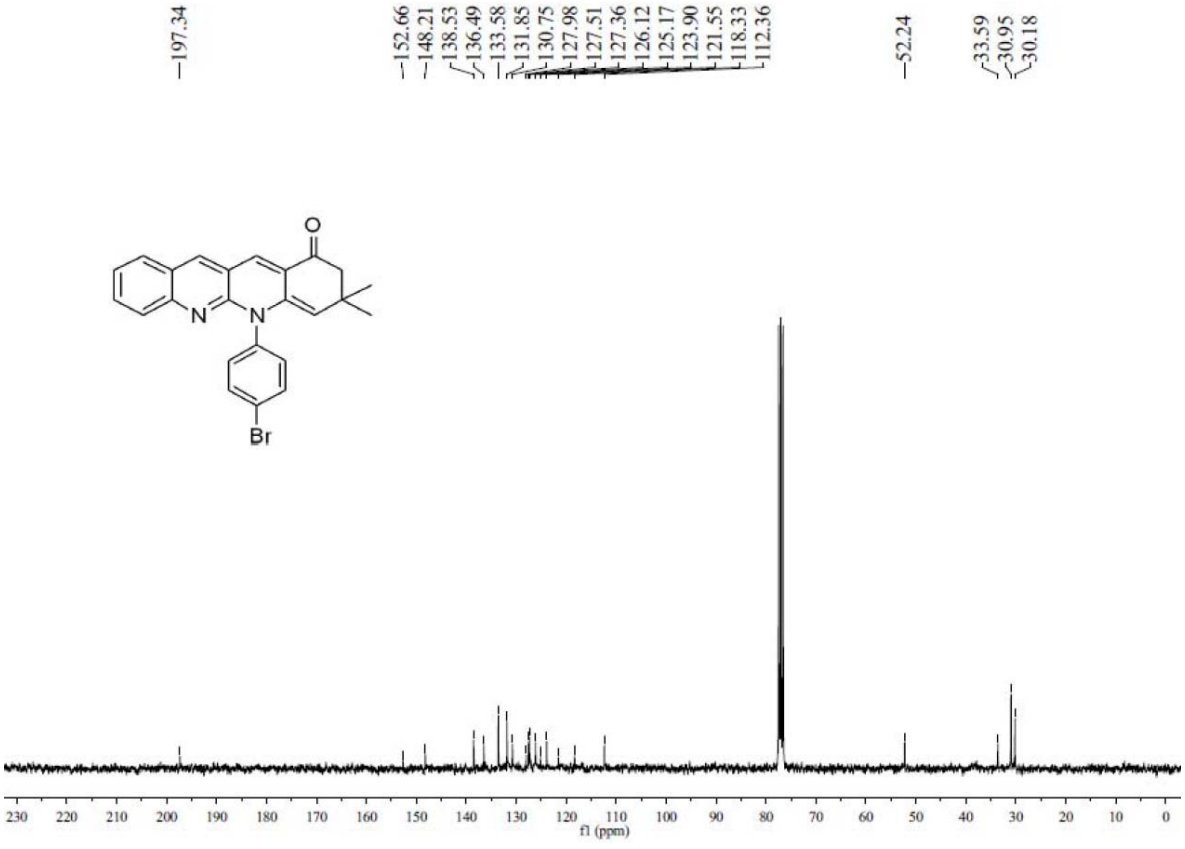

$^{13}$C NMR of compound **3**{*1,2*}



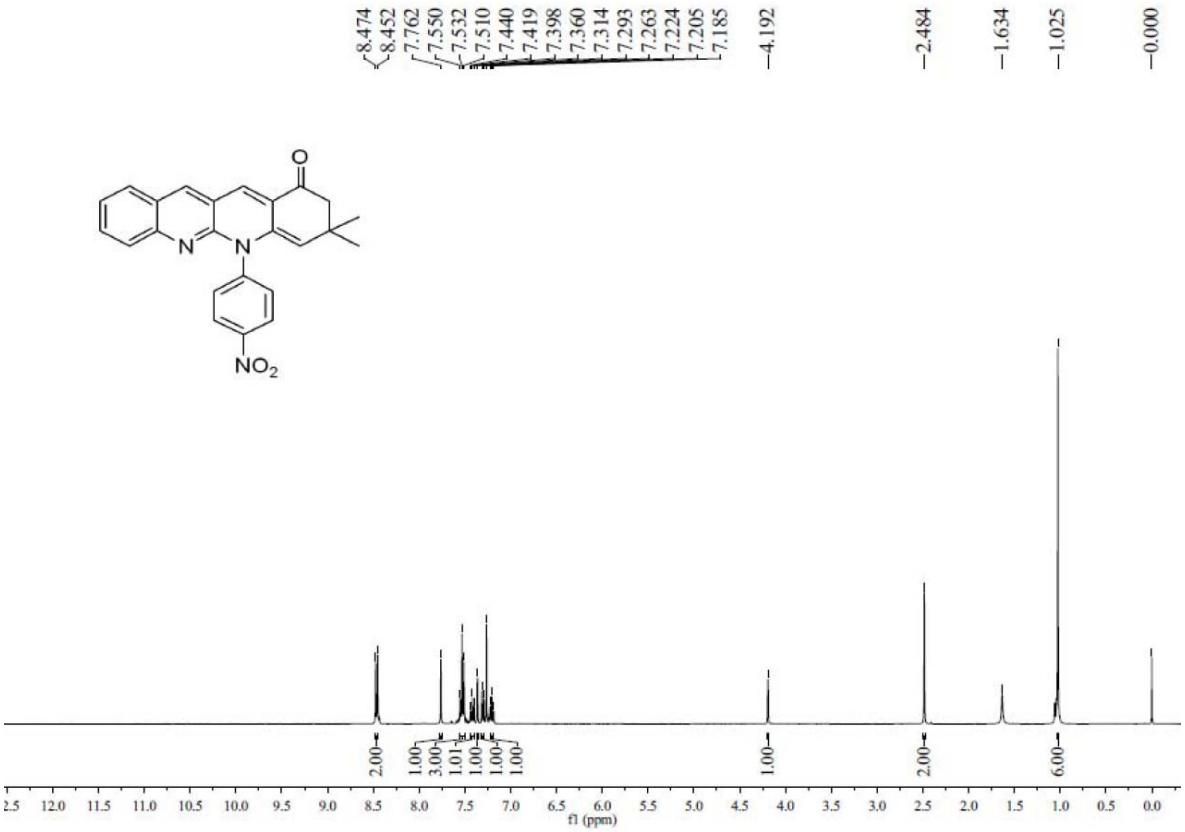
$^{1}$H NMR of compound **3**{*1,6*}



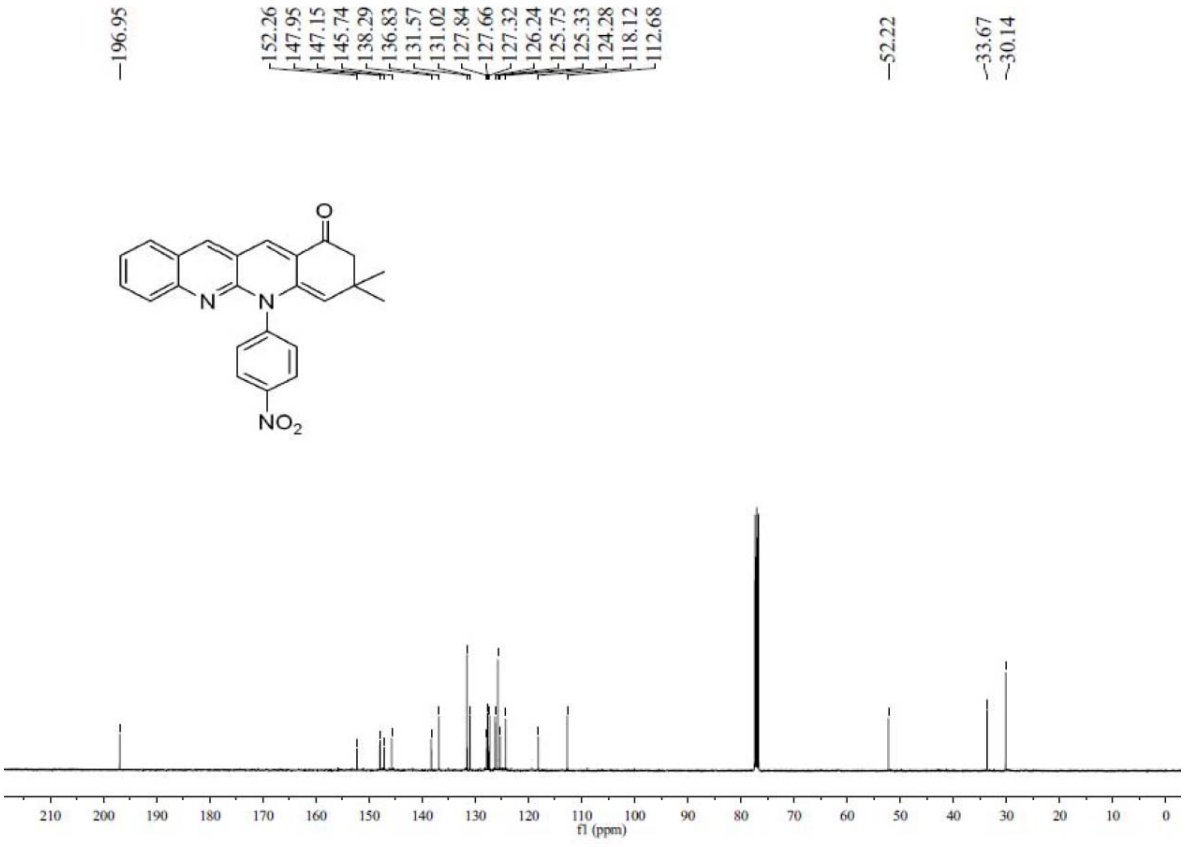

$^{13}$C NMR of compound **3**{*1,6*}



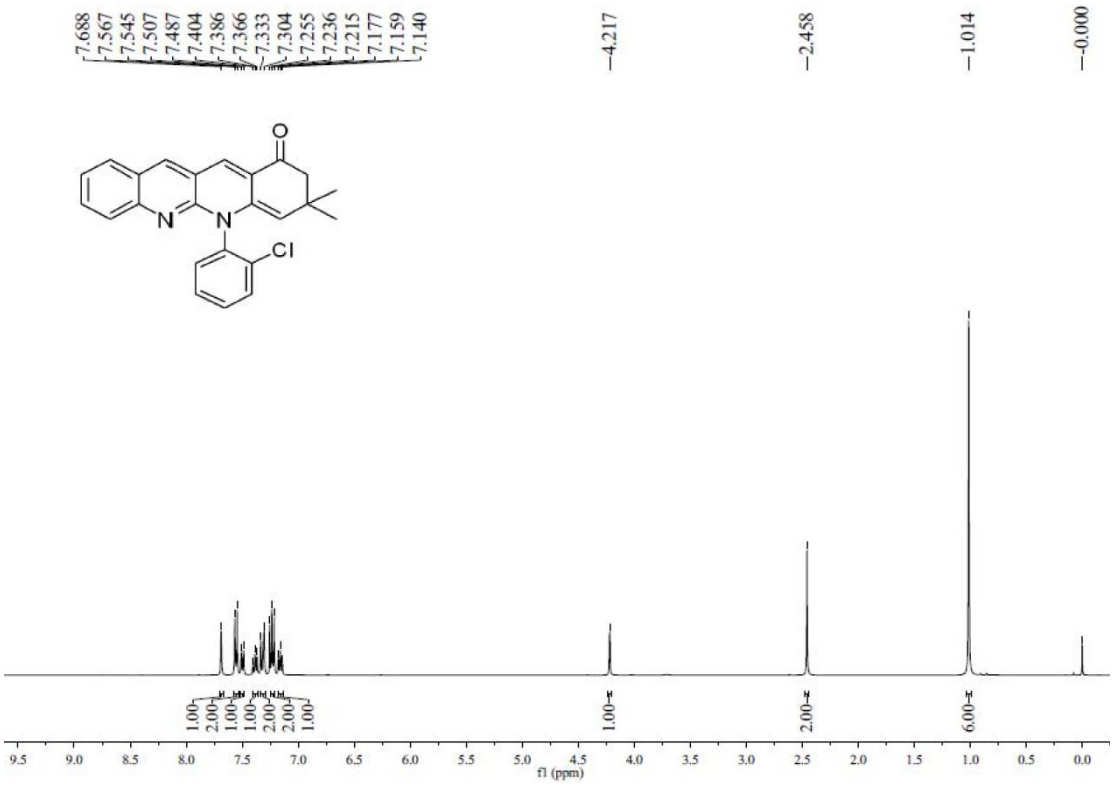
$^{1}$H NMR of compound **3**{*1*,*7*}



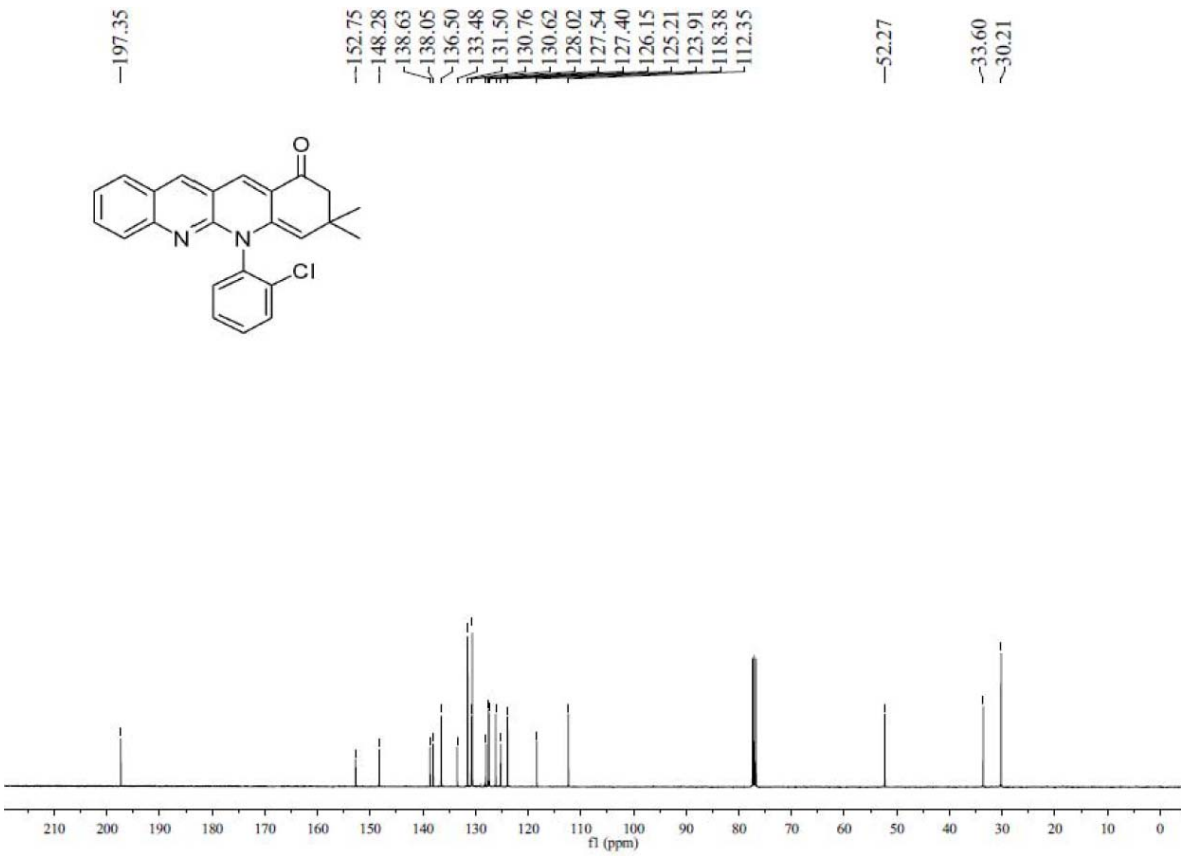

$^{13}$C NMR of compound **3**{*1*,*7*}



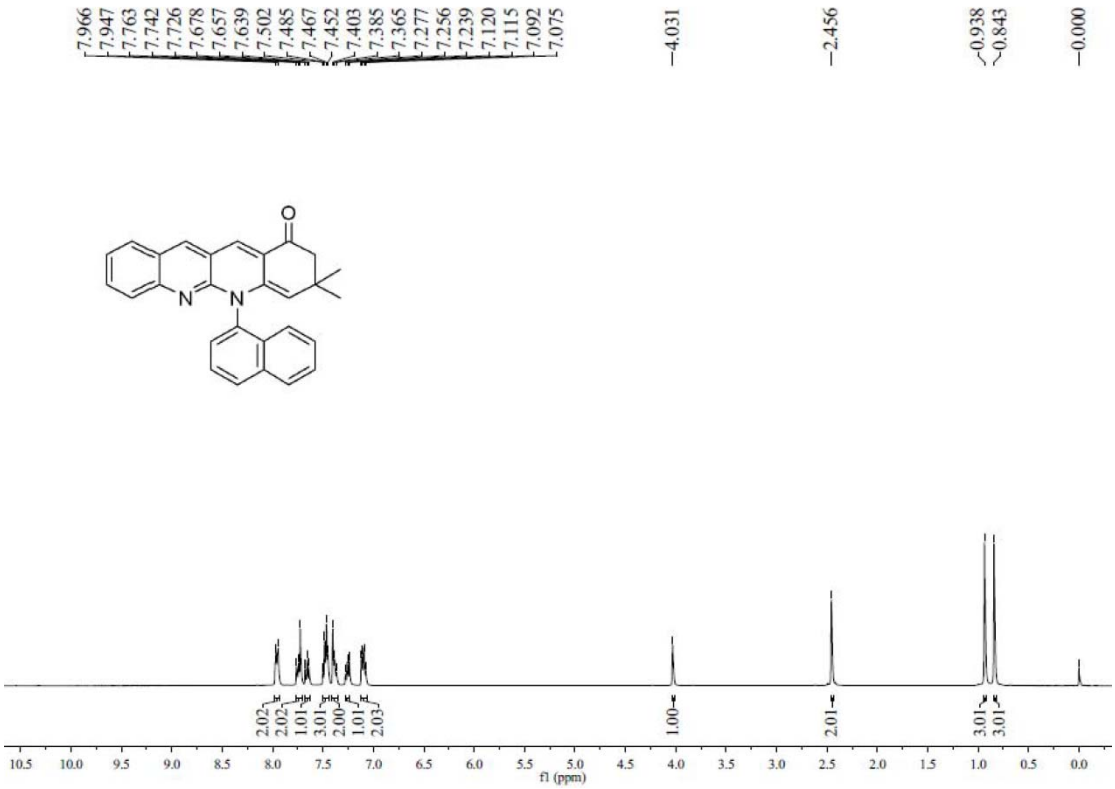
$^{1}$H NMR of compound **3**{*1,10*}



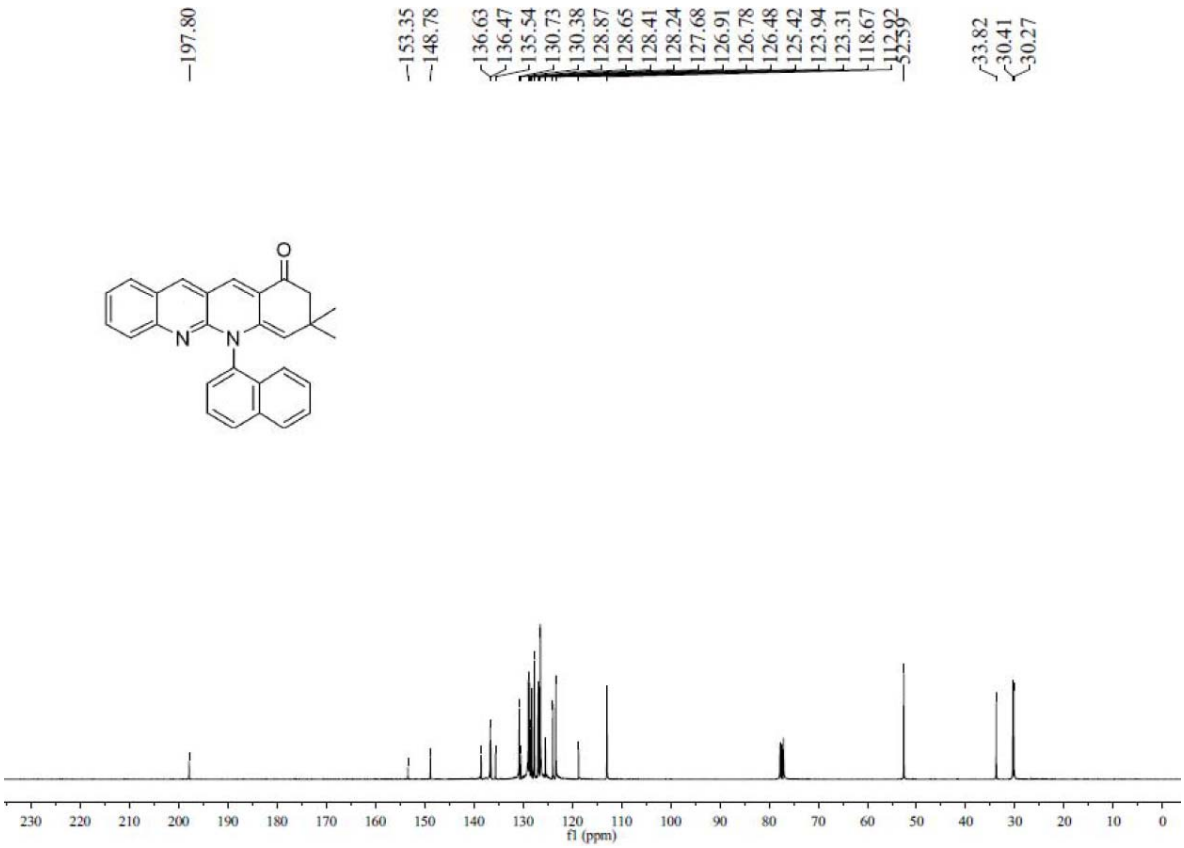

$^{13}$C NMR of compound **3**{*1,10*}



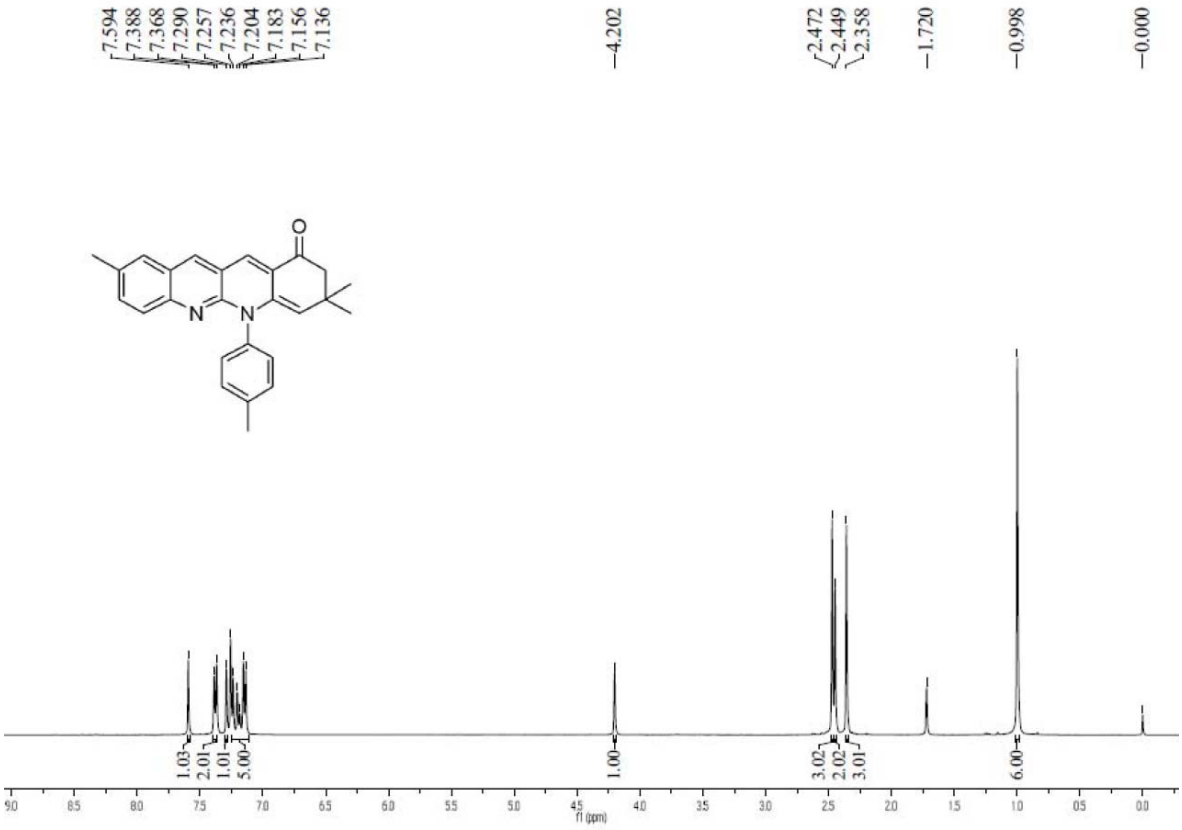
$^{1}$H NMR of compound **3**{*1,12*}



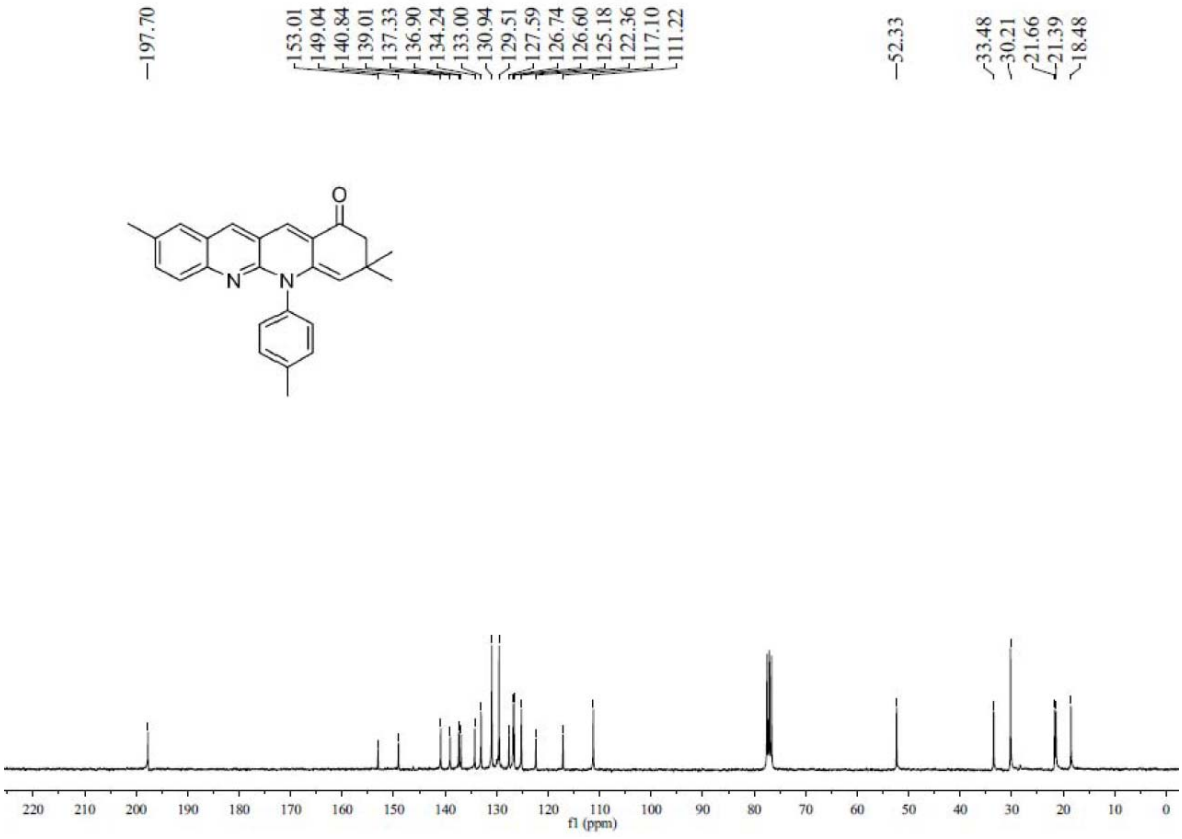

$^{13}$C NMR of compound **3**{*1,12*}



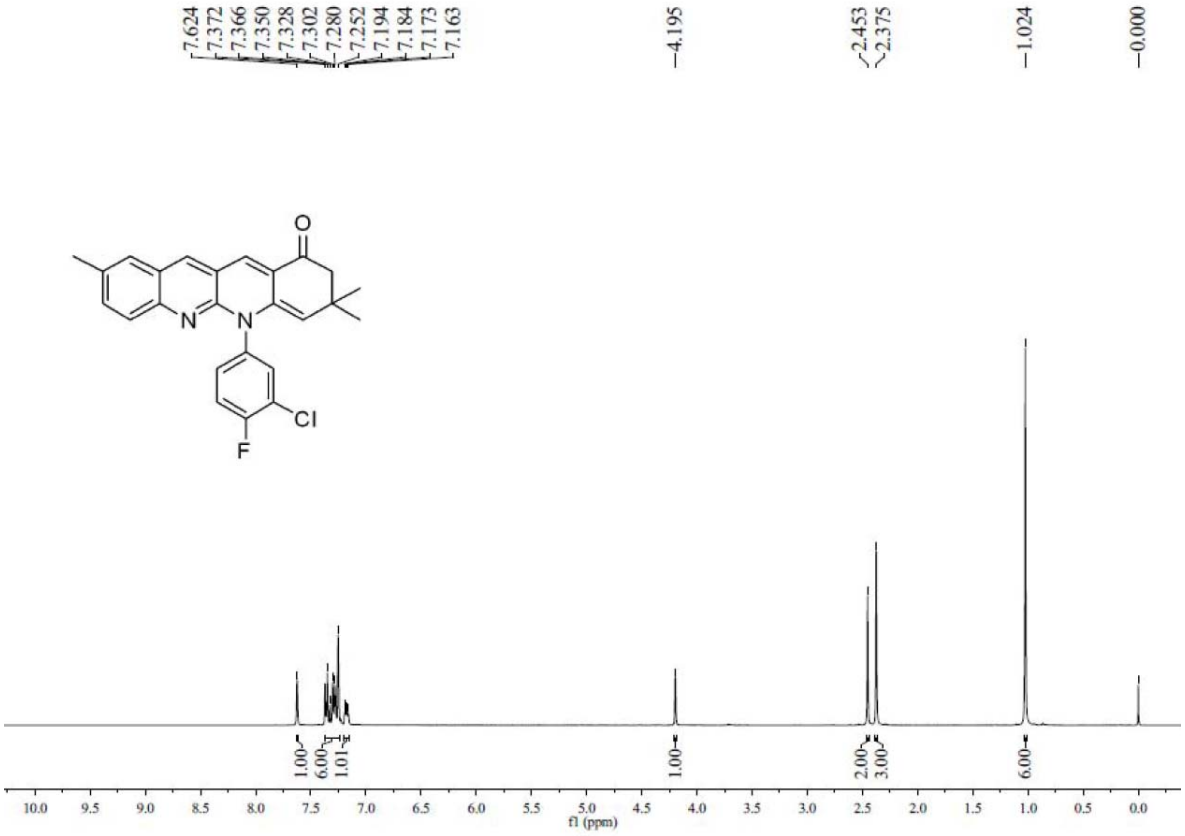
$^{1}$H NMR of compound **3**{*2*, *1*}



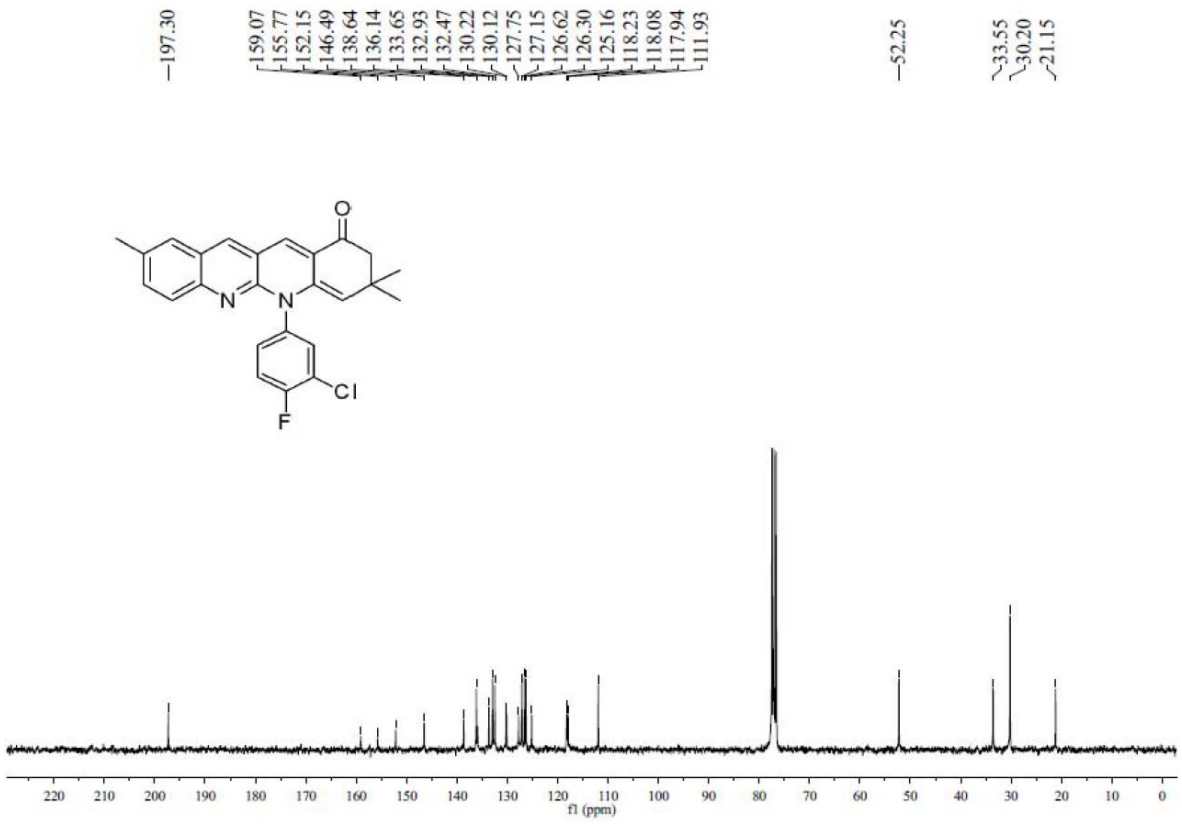

$^{13}$C NMR of compound **3**{*2*, *1*}



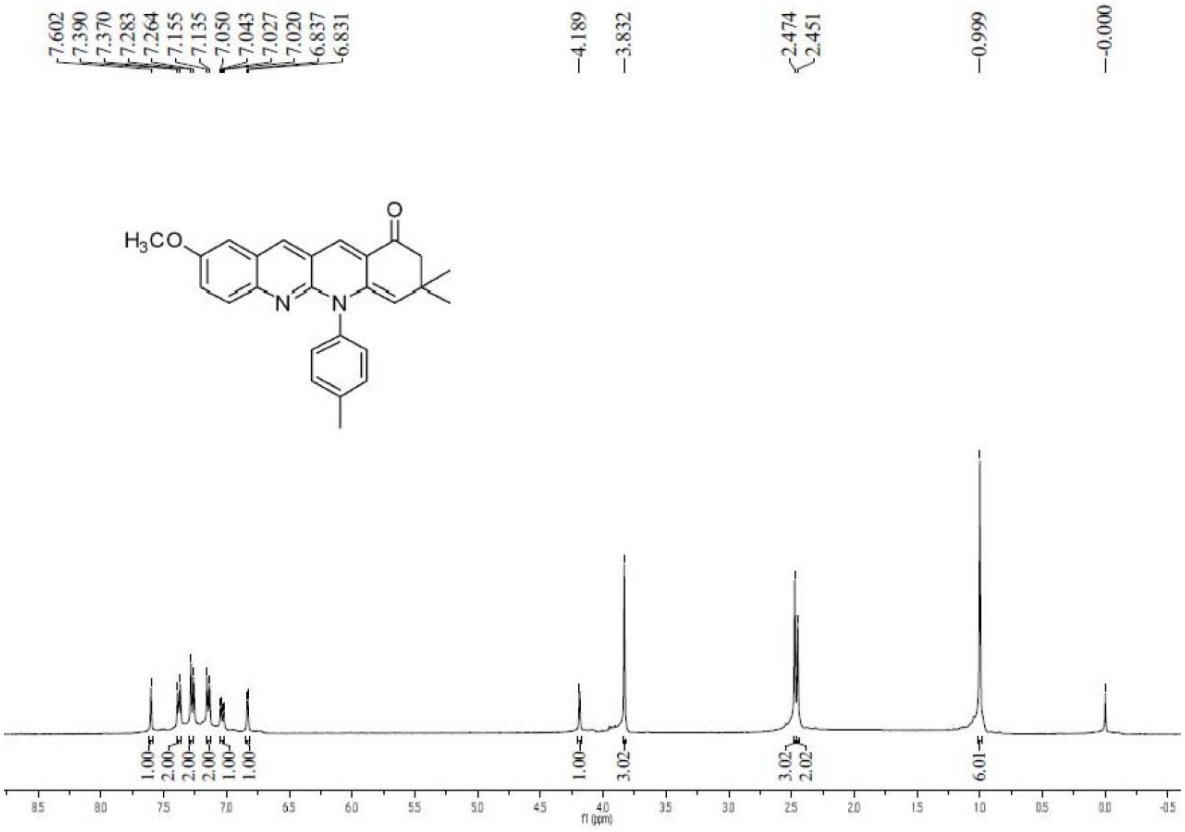
$^{1}$H NMR of compound **3**{*2*, *8*}



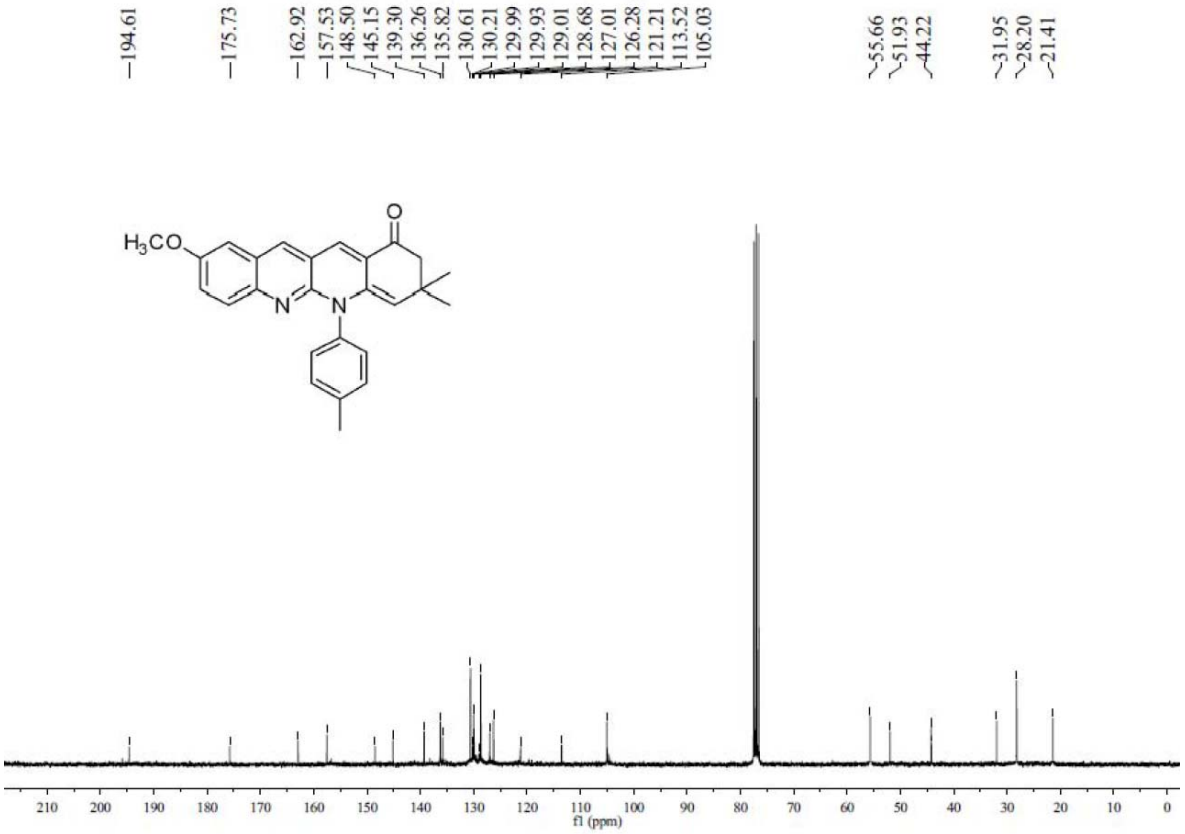

$^{13}$C NMR of compound **3**{*2*, *8*}



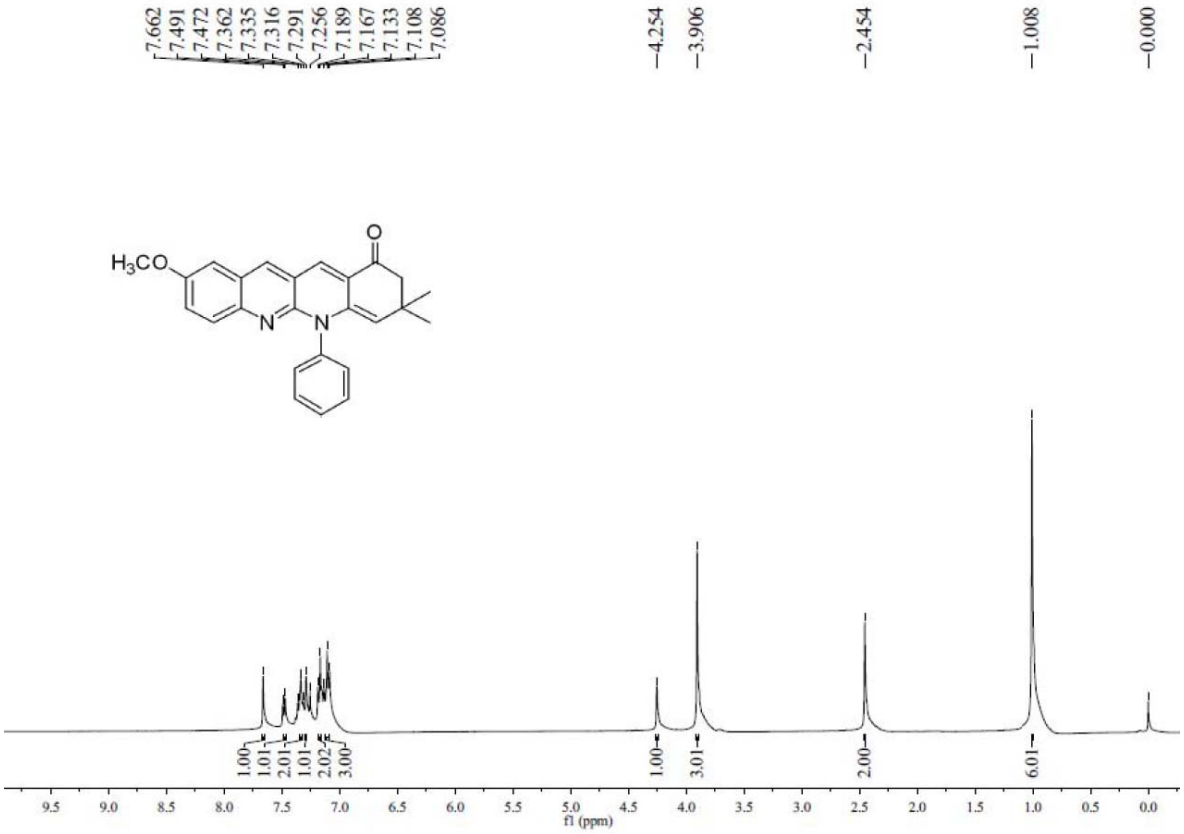
$^{1}$H NMR of compound **3**{*3*, *1*}



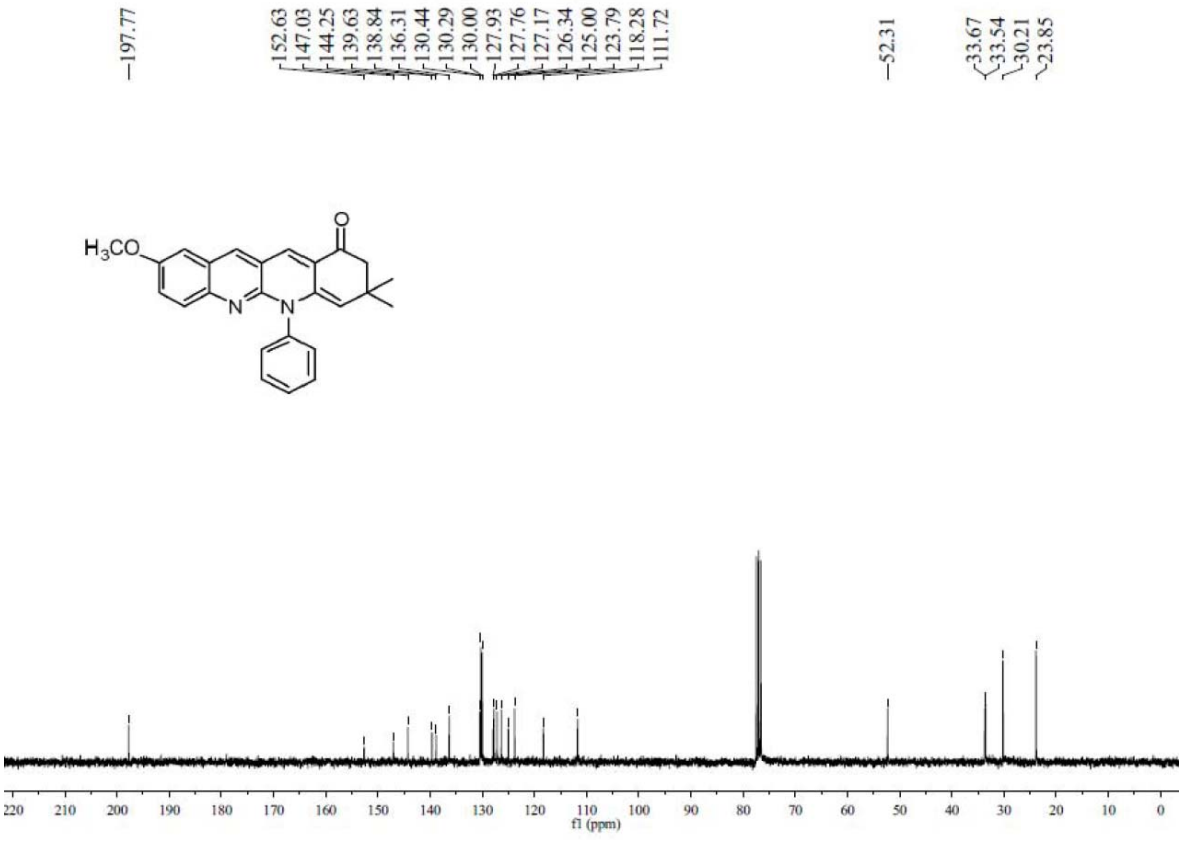

$^{13}$C NMR of compound **3**{*3*, *1*}



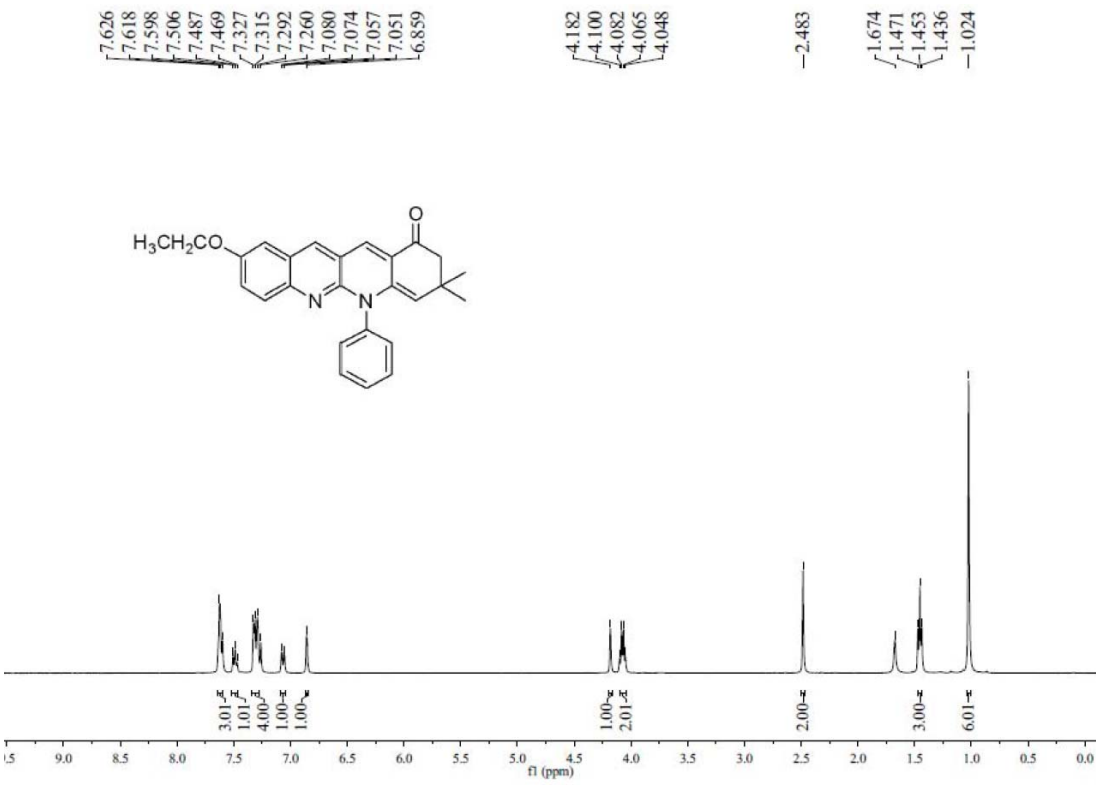
$^{1}$H NMR of compound **3**{*3*, *2*}



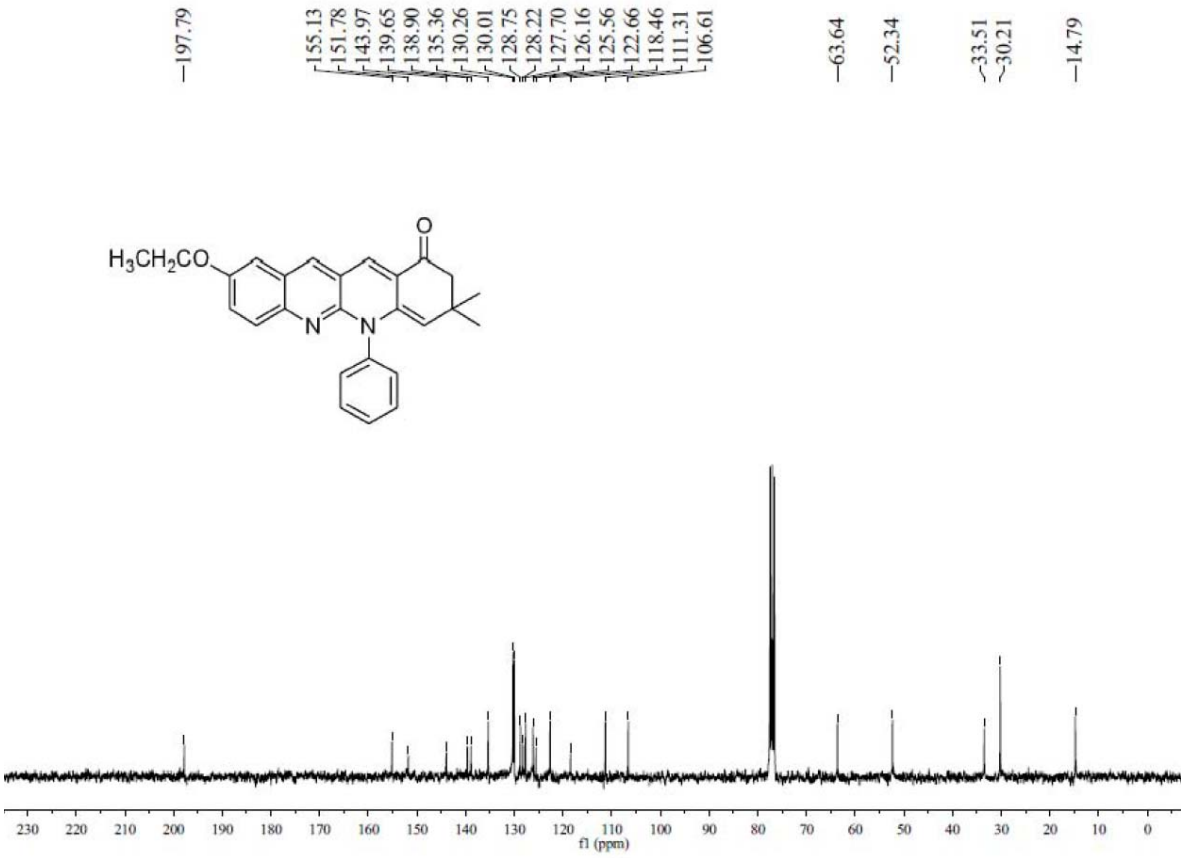

$^{13}$C NMR of compound **3**{*3*, *2*}



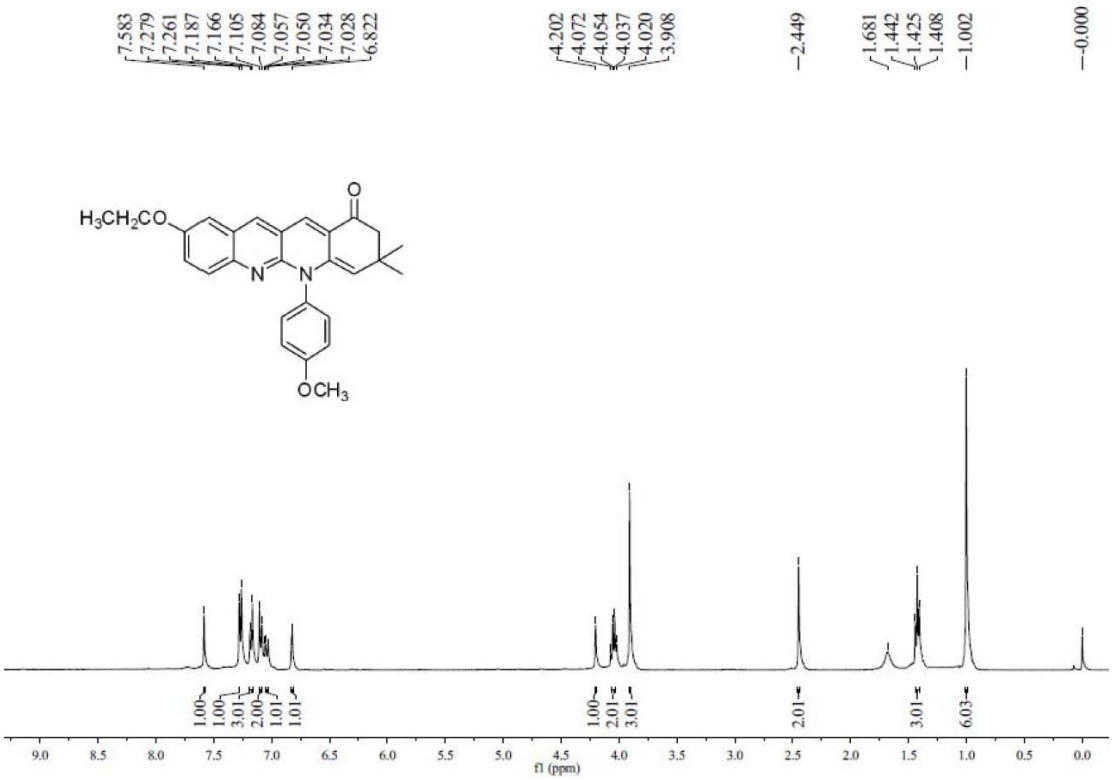
[1]H NMR of compound **3**{*4*, *2*}



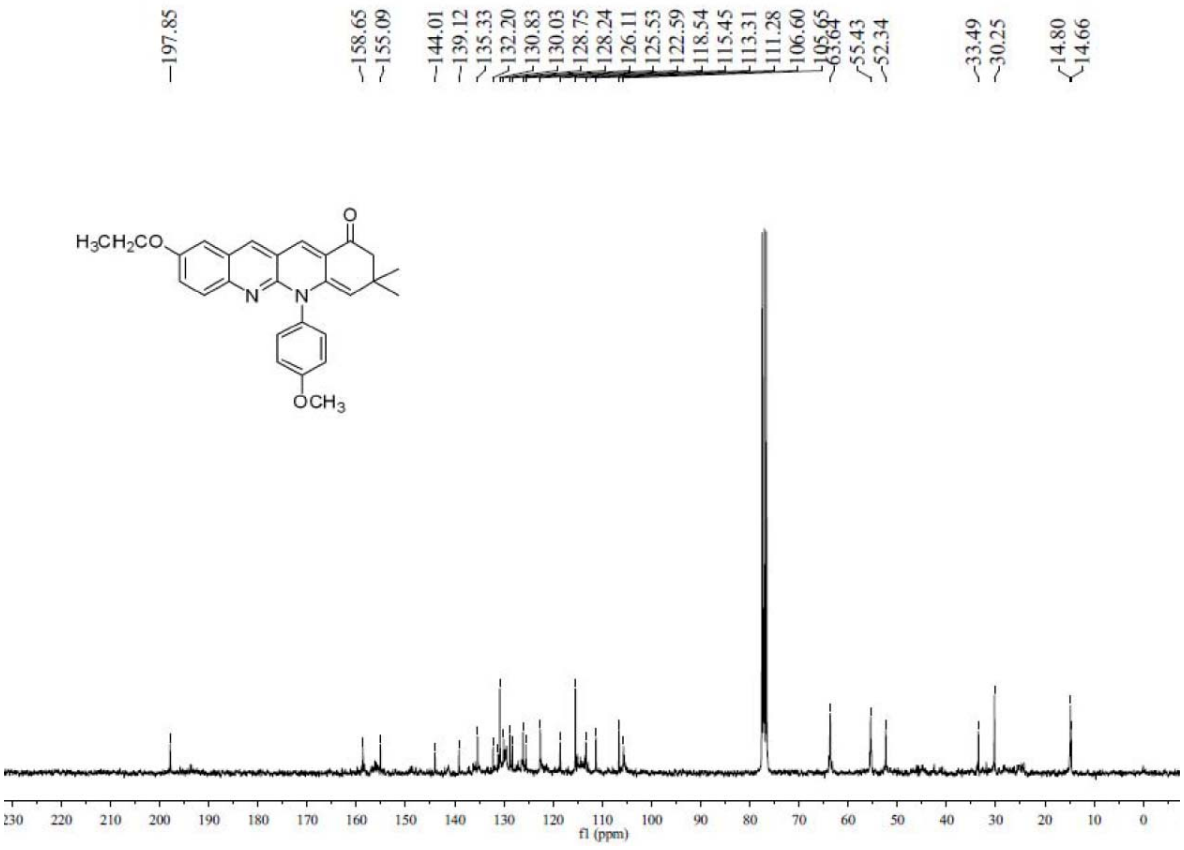

[13]C NMR of compound **3**{*4*, *2*}



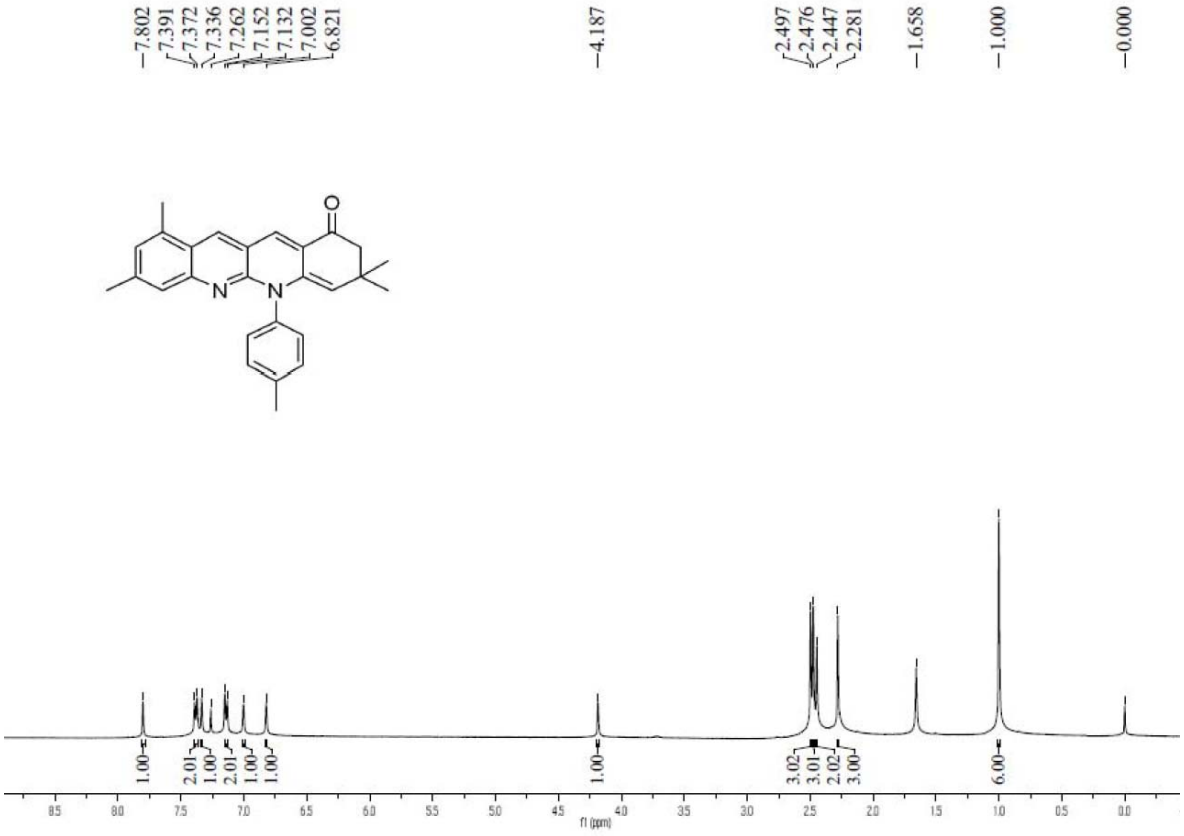
$^{1}$H NMR of compound **3**{*4*, *3*}



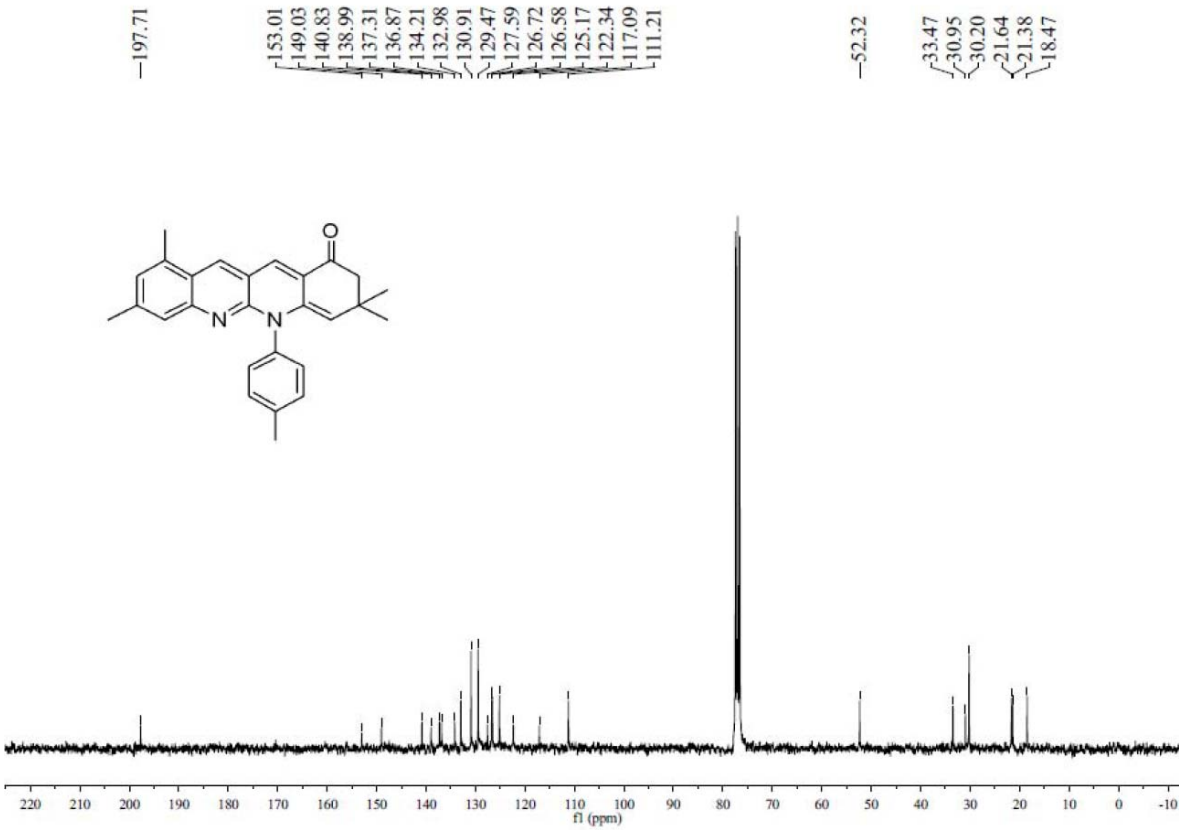

$^{13}$C NMR of compound **3**{*4*, *3*}



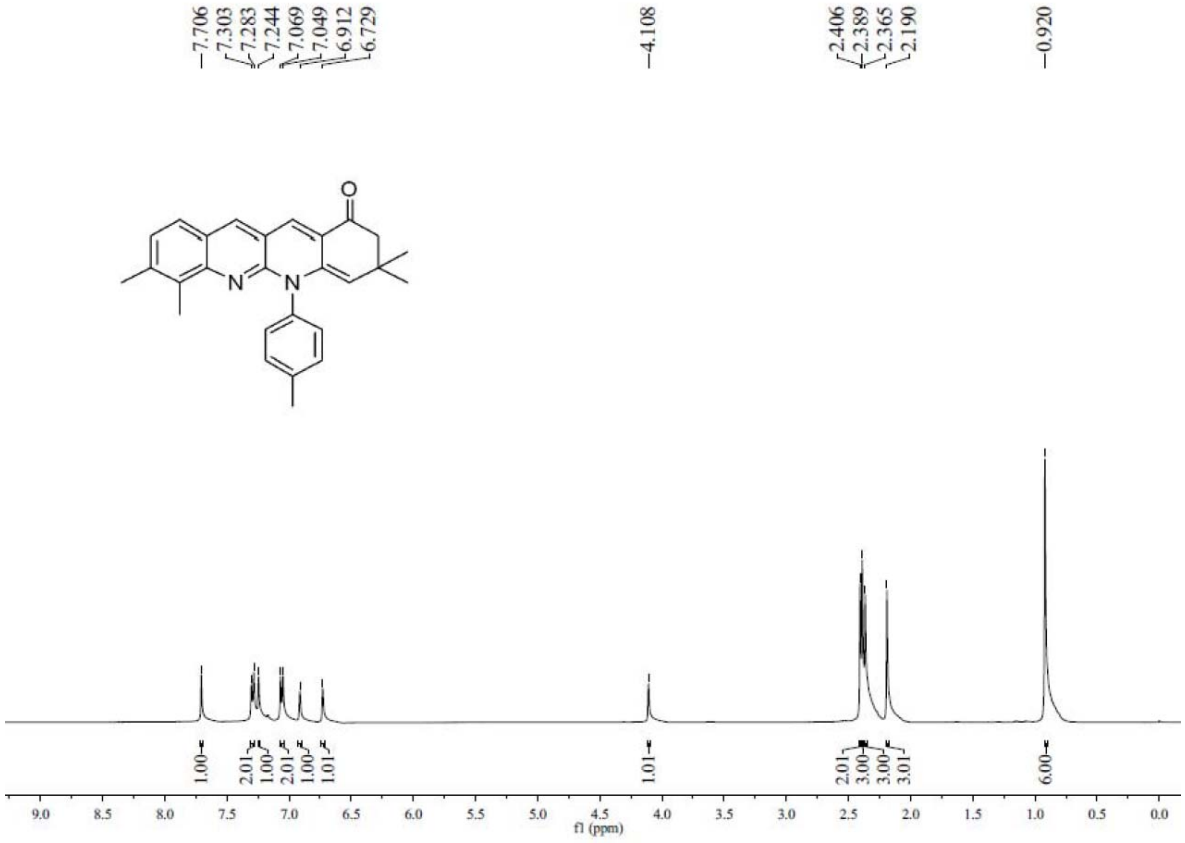
$^{1}$H NMR of compound **3**{*5*, *1*}



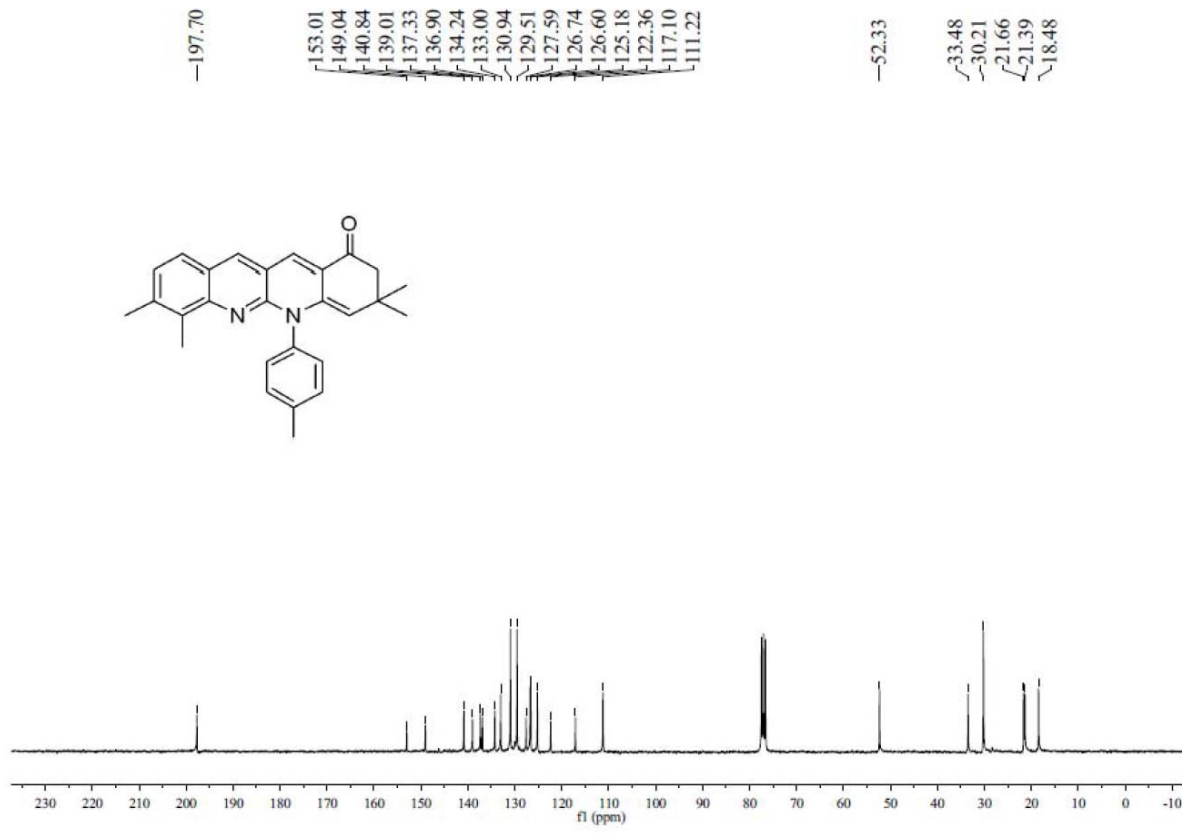

$^{13}$C NMR of compound **3**{*5*, *1*}



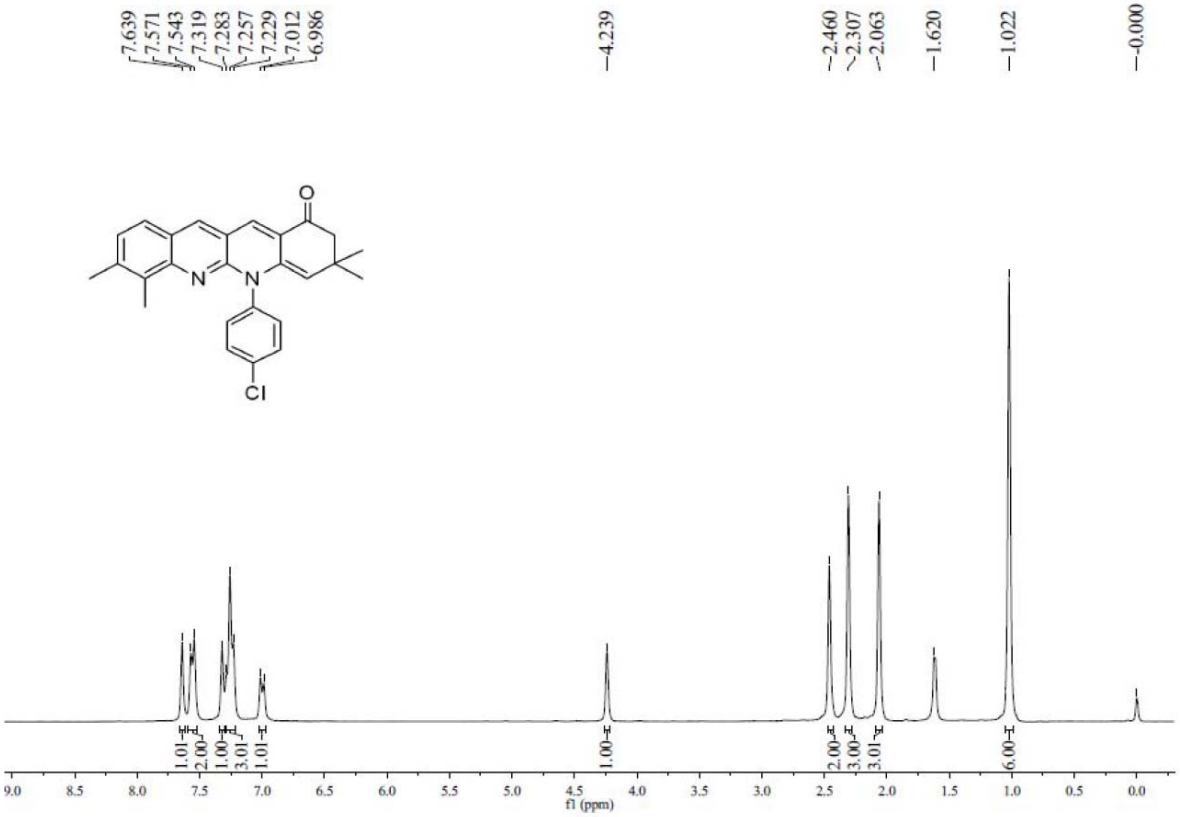
$^{1}$H NMR of compound **3**{*6*, *1*}



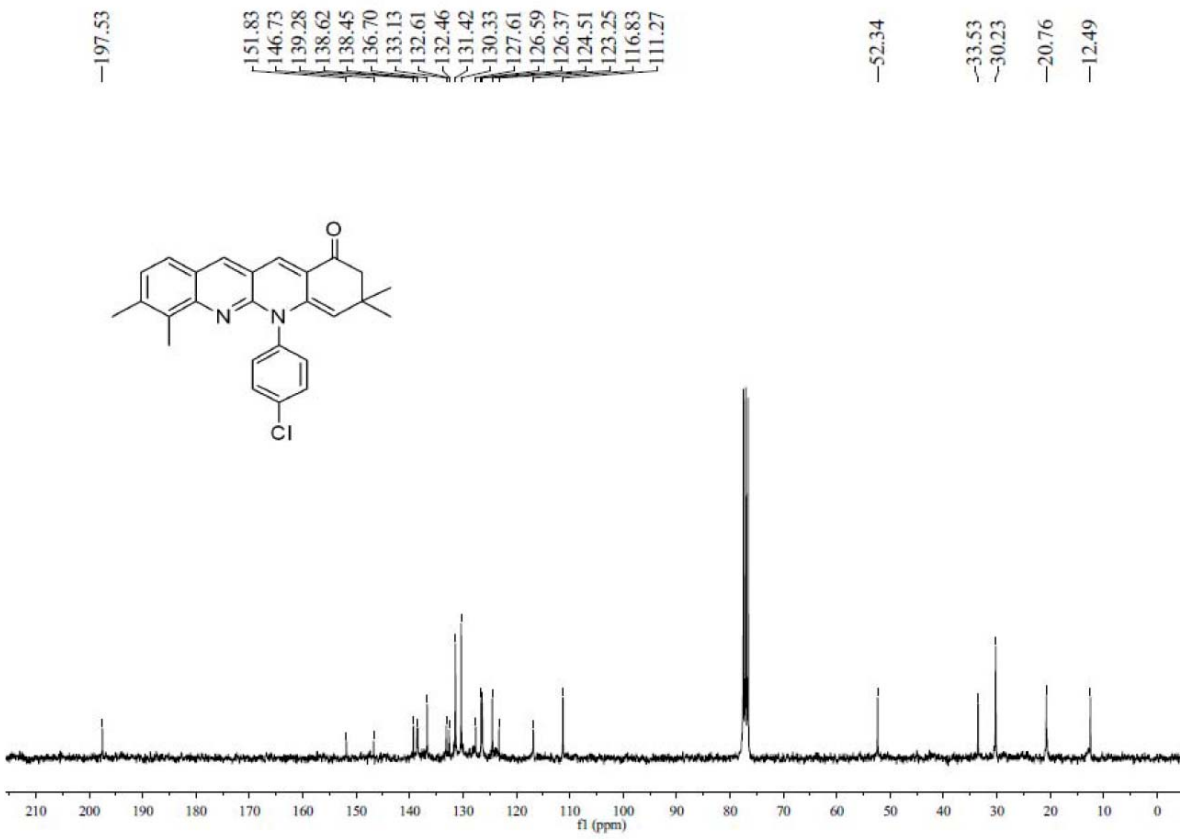

$^{13}$C NMR of compound **3**{*6*, *1*}



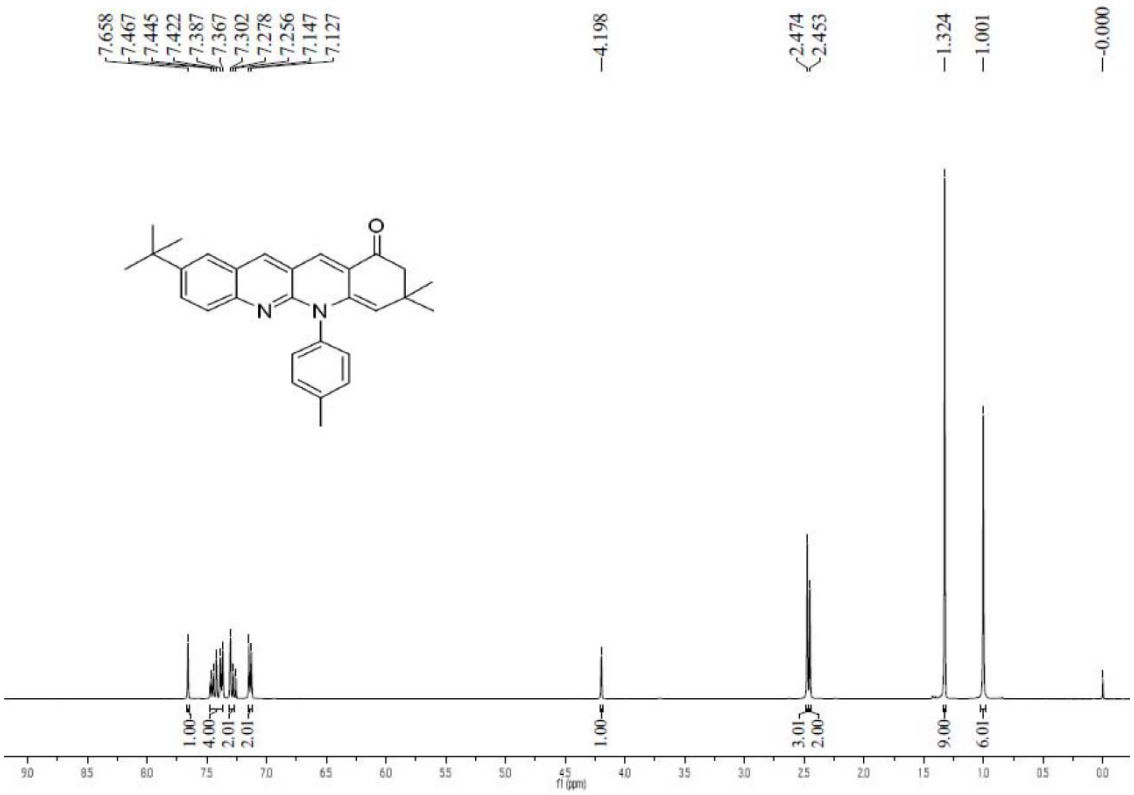
$^{1}$H NMR of compound **3**{*6*, *5*}



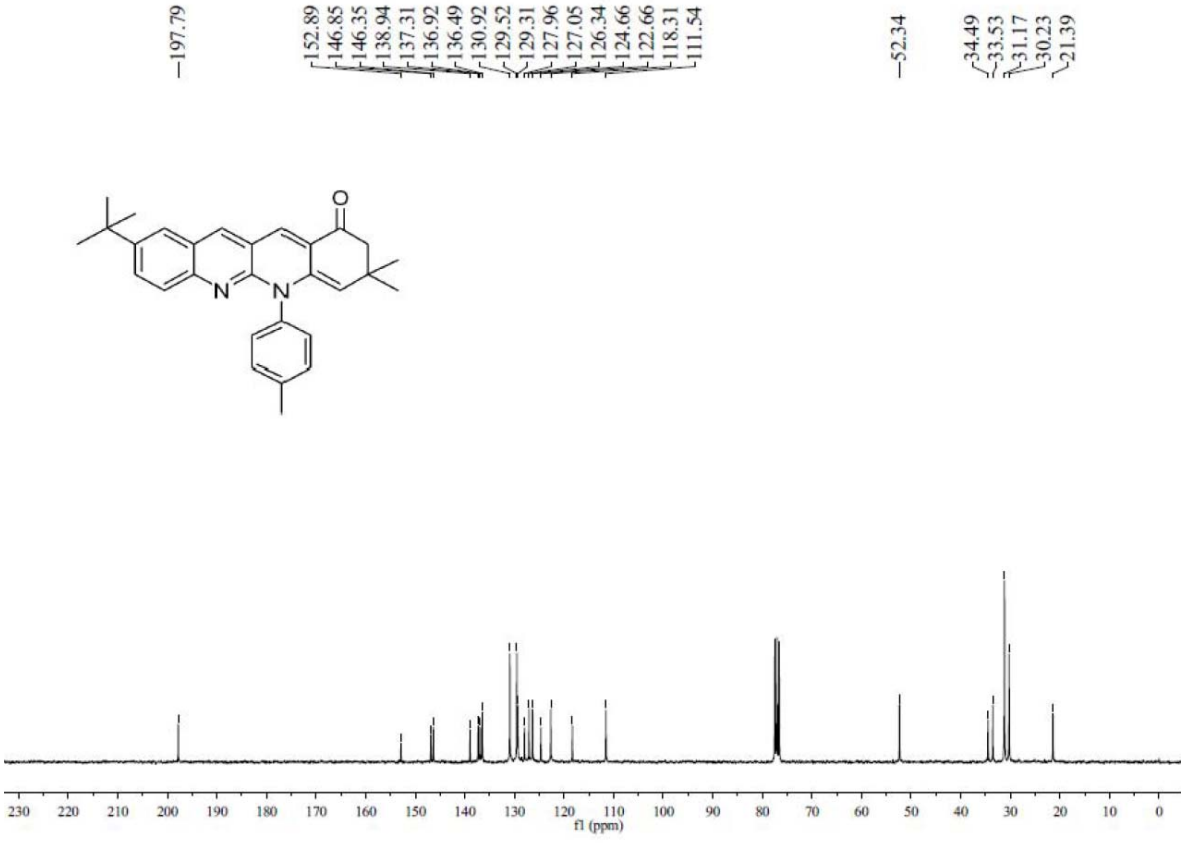

$^{13}$C NMR of compound 3{*6*, *5*}



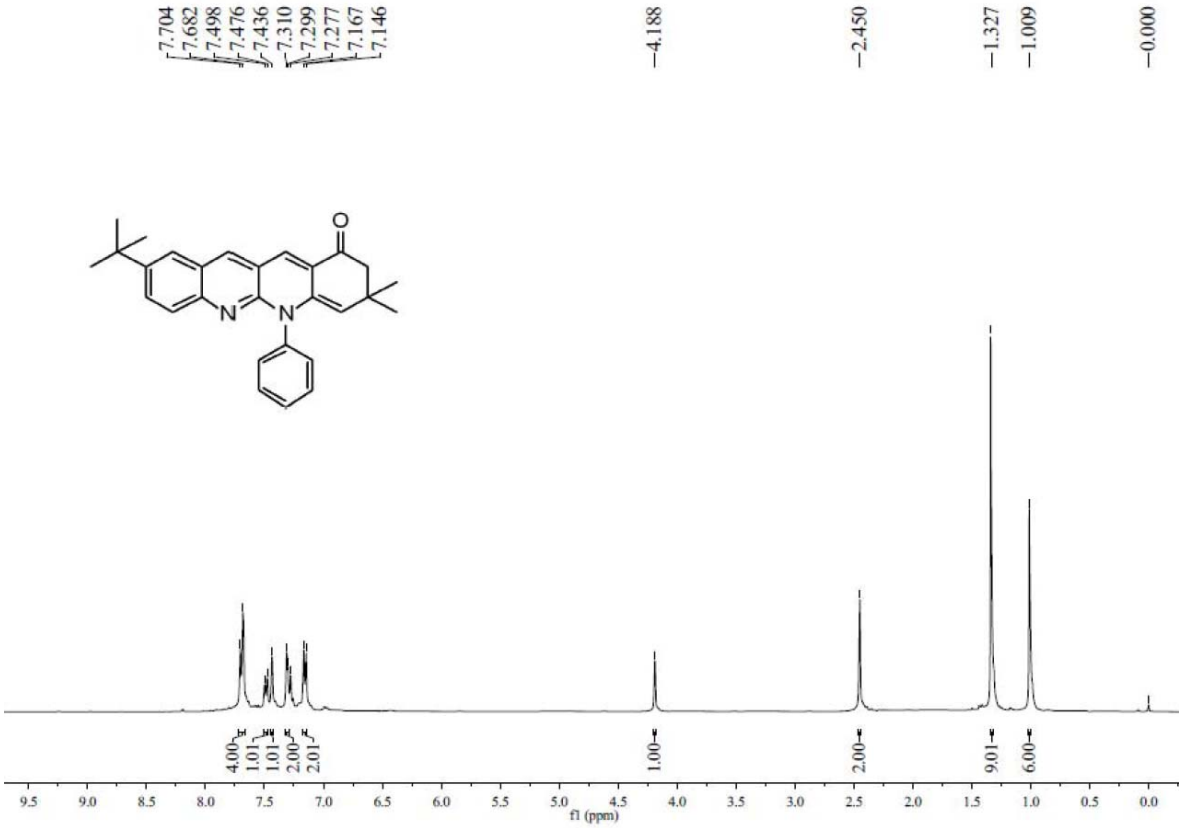
$^{1}$H NMR of compound **3**{*7*, *1*}



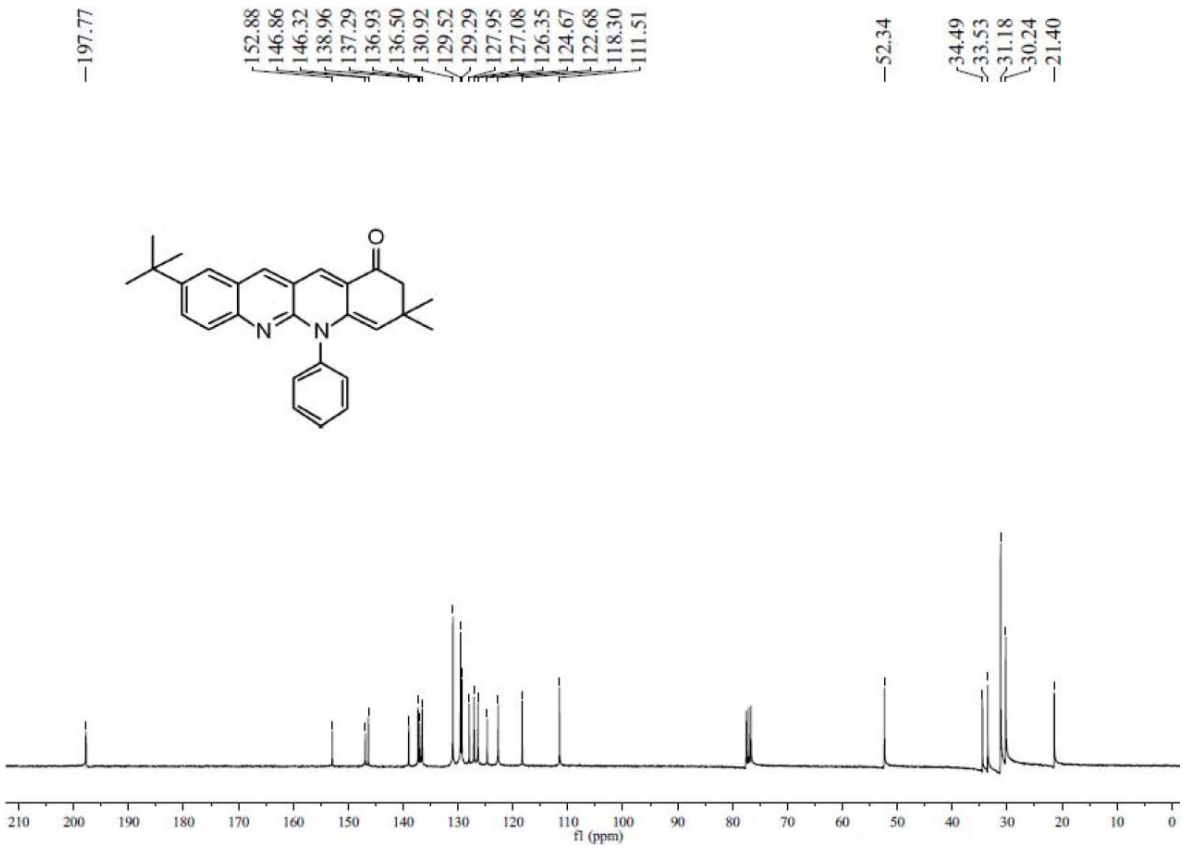

$^{13}$C NMR of compound **3**{*7*, *1*}



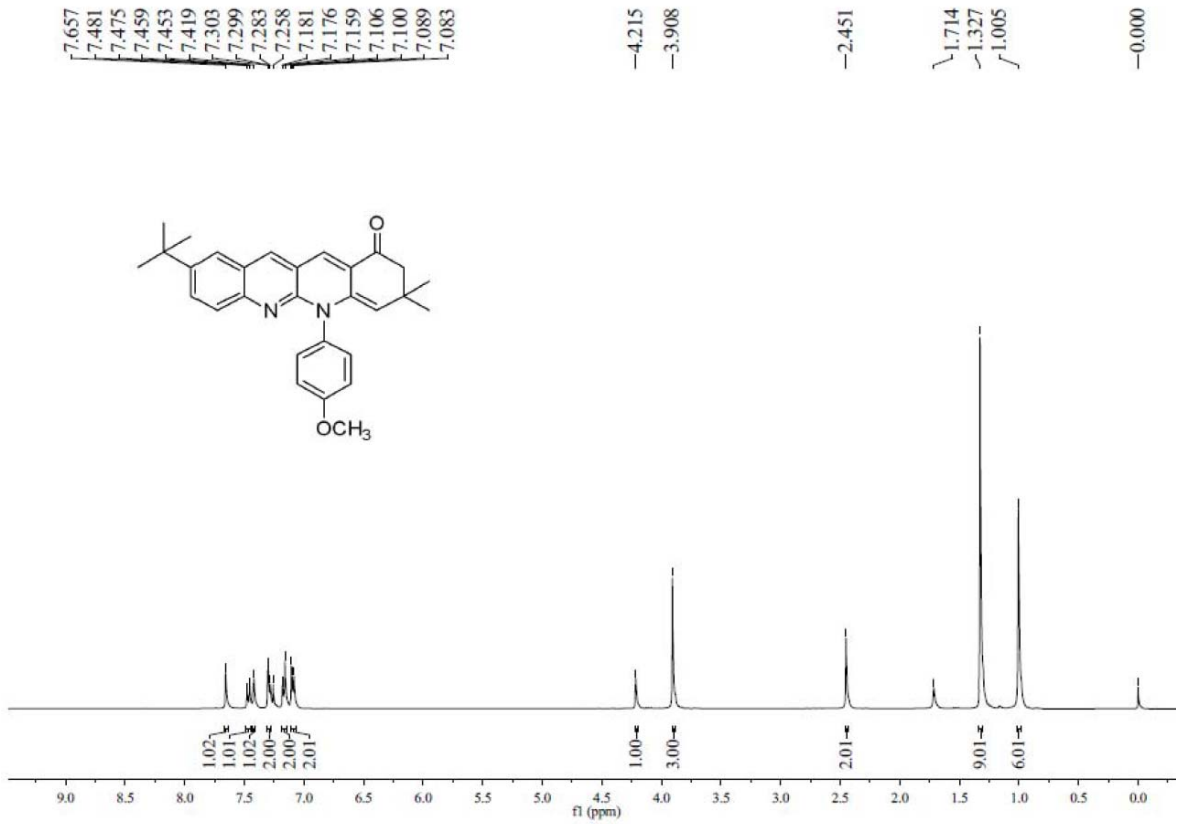
$^{1}$H NMR of compound **3**{*7*, *2*}



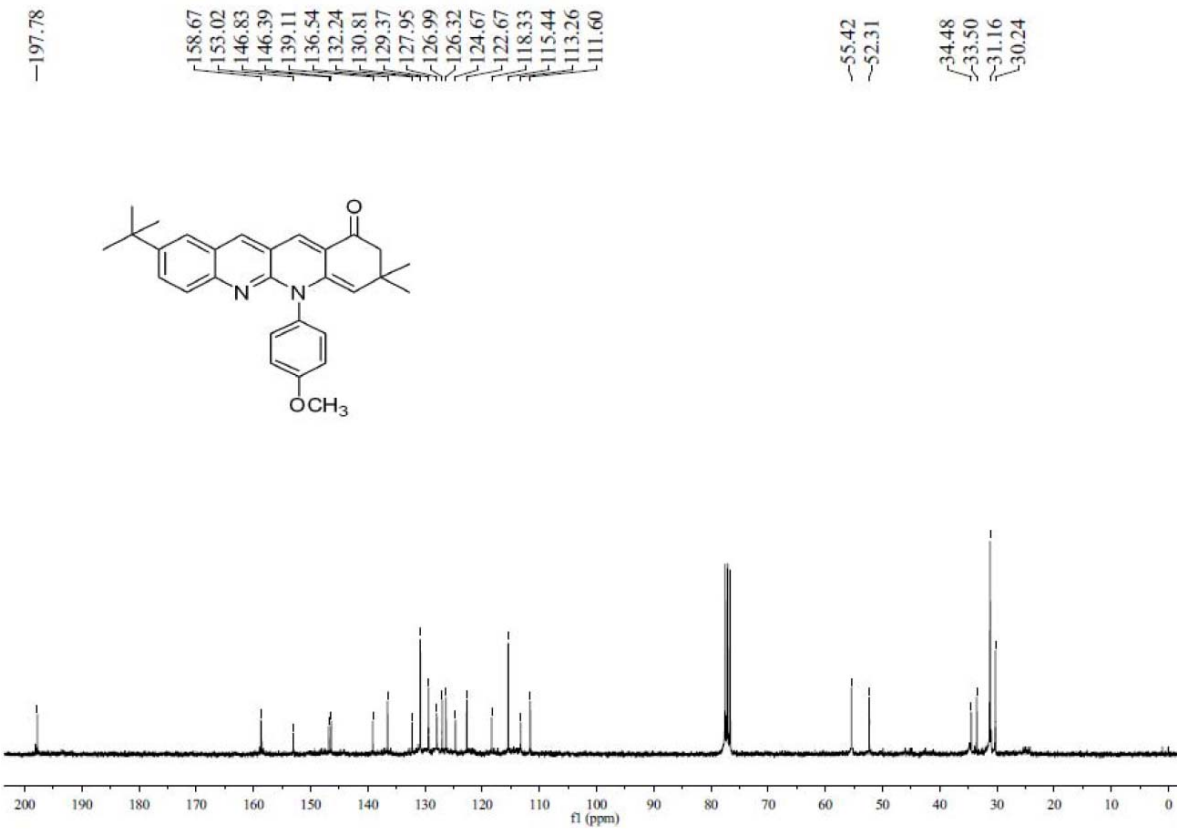

$^{13}$C NMR of compound **3**{*7*, *2*}



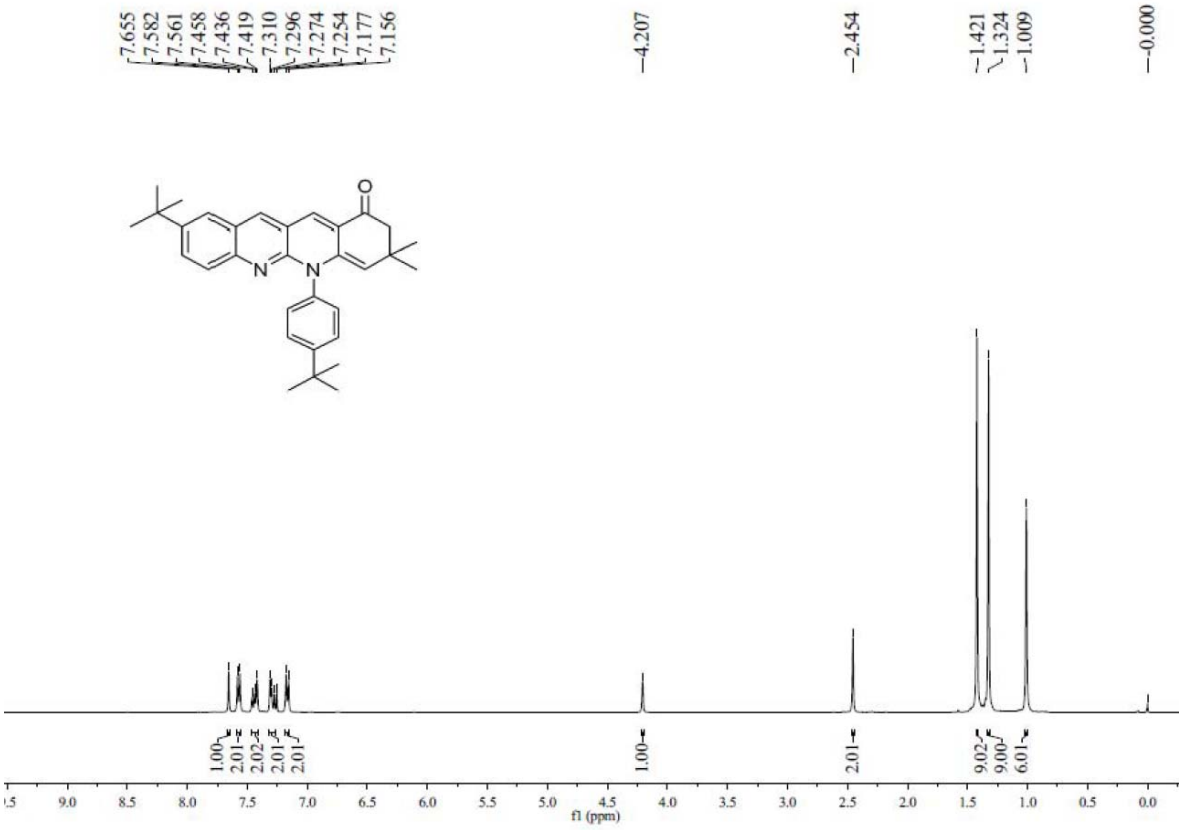
$^{1}$H NMR of compound **3**{*7*, *3*}



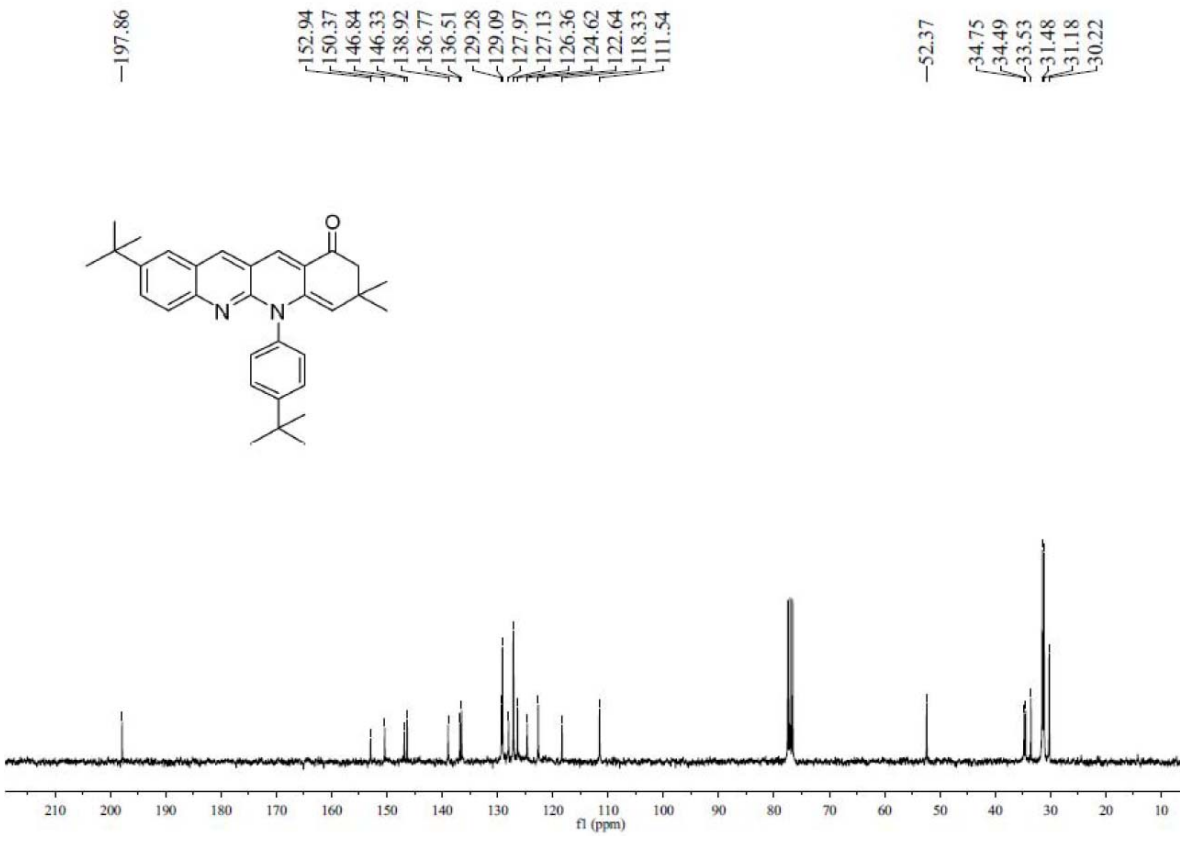

$^{13}$C NMR of compound **3**{*7*, *3*}



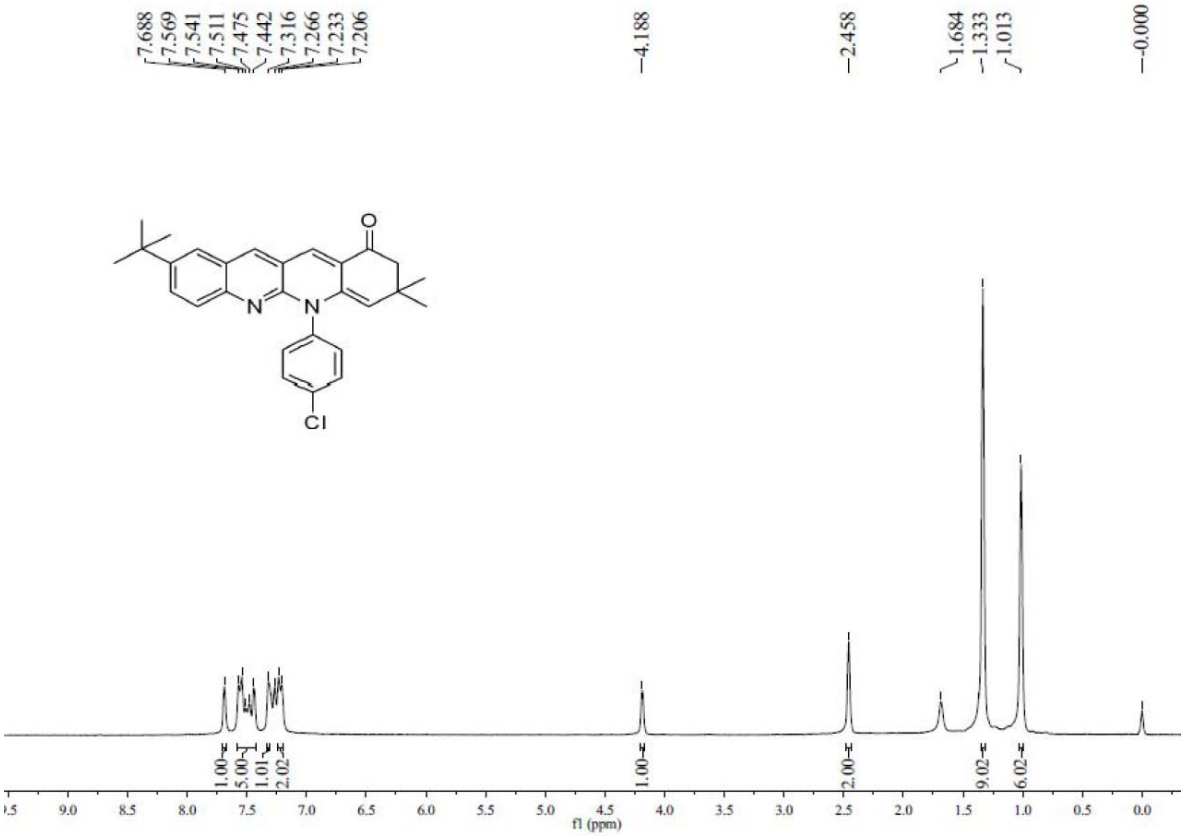
$^{1}$H NMR of compound **3**{*7*, *4*}



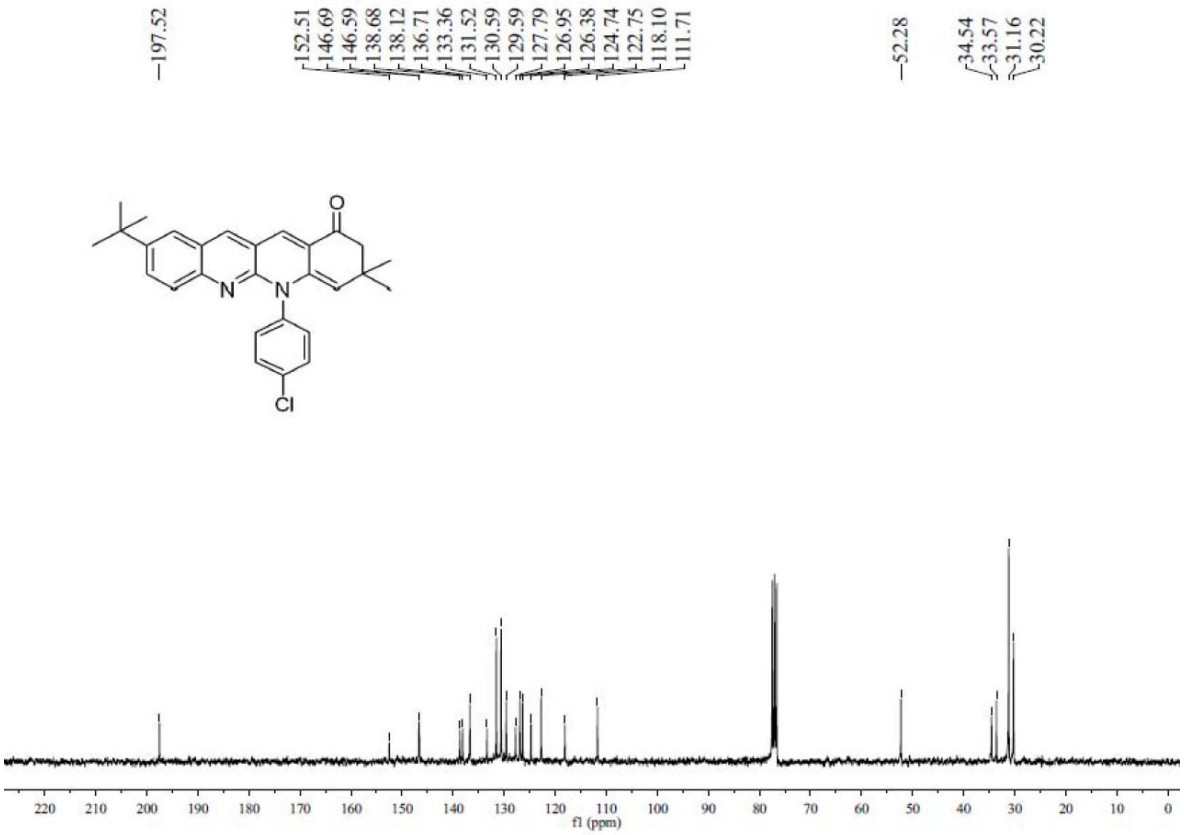

$^{13}$C NMR of compound **3**{*7*, *4*}



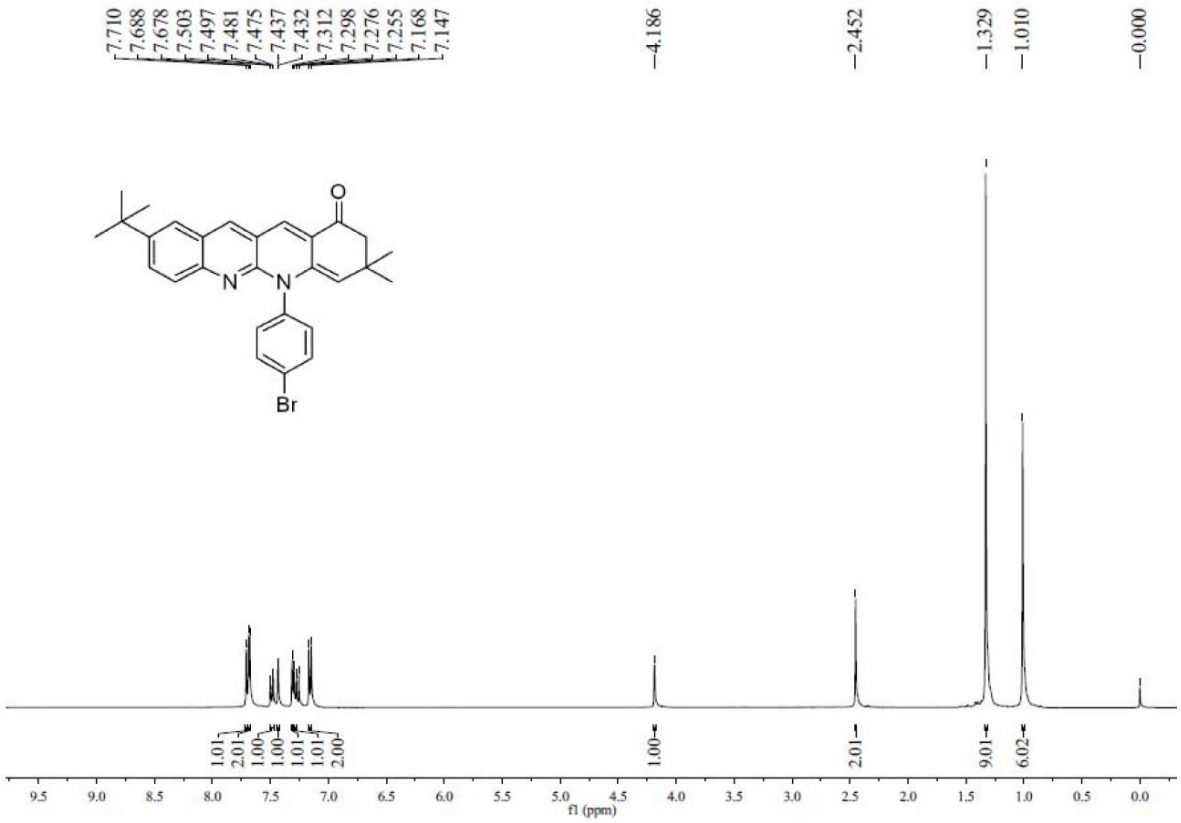
$^{1}$H NMR of compound **3**{*7*, *5*}



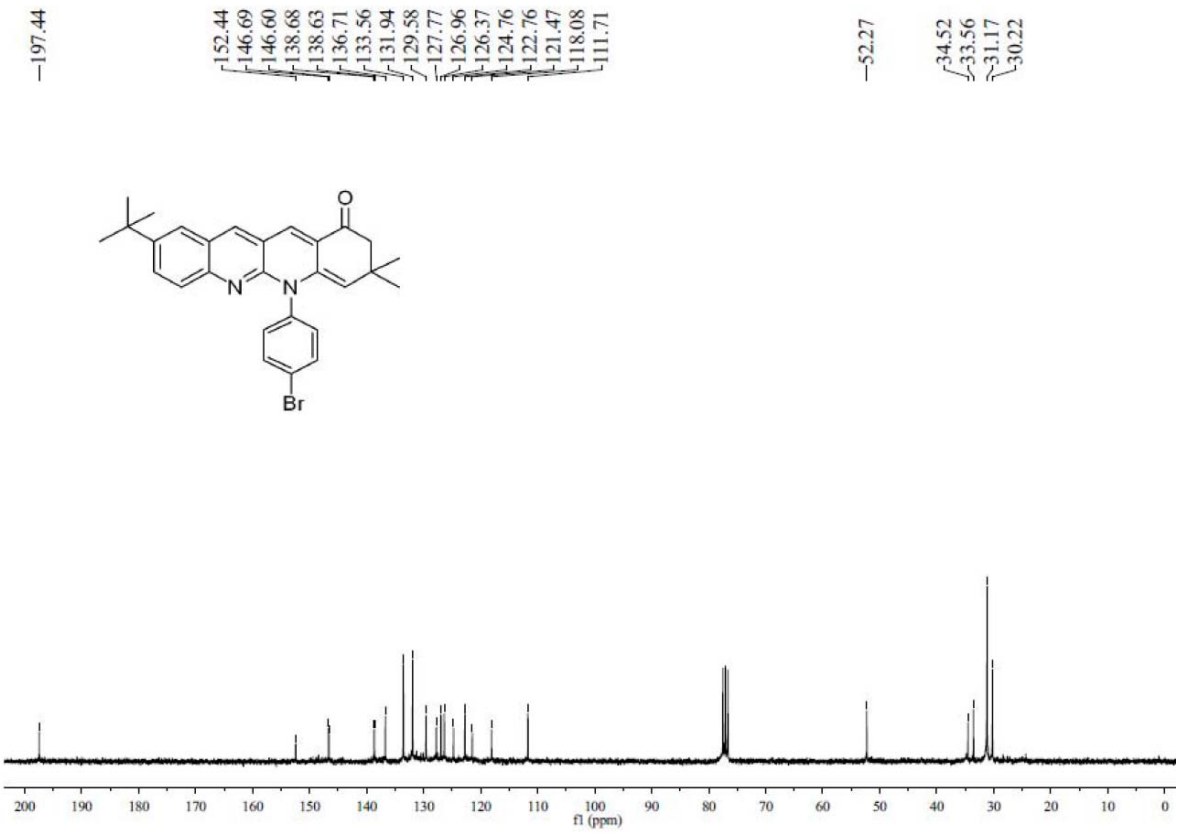

$^{13}$C NMR of compound **3**{*7*, *5*}



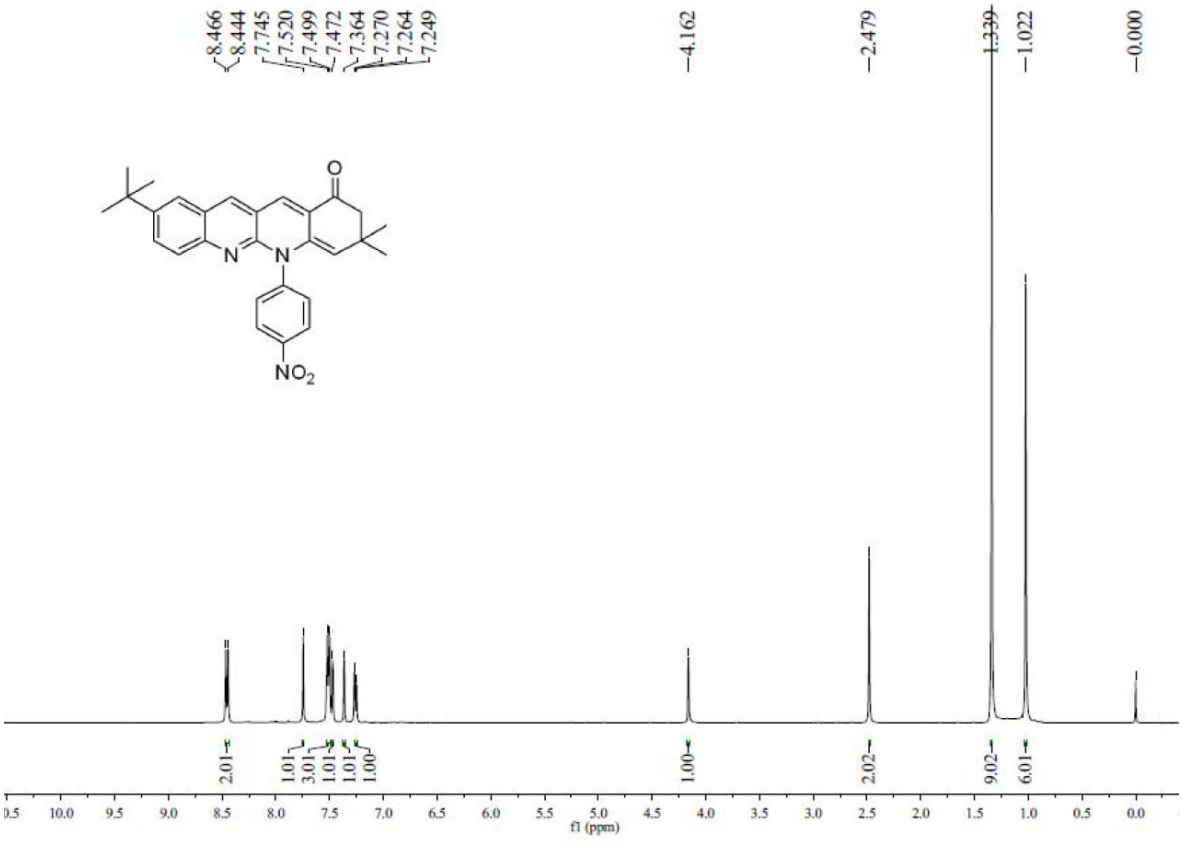
$^{1}$H NMR of compound **3**{*7*, *6*}



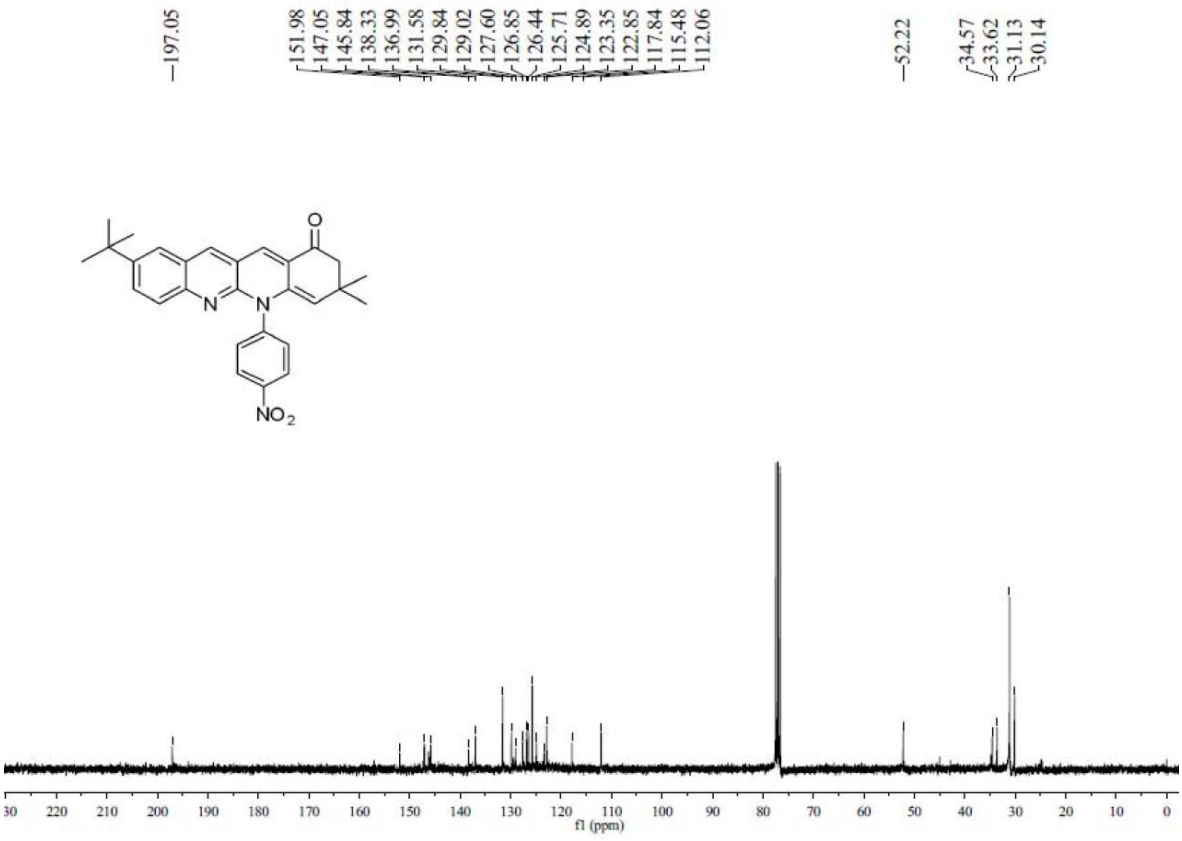

$^{13}$C NMR of compound **3**{*7*, *6*}



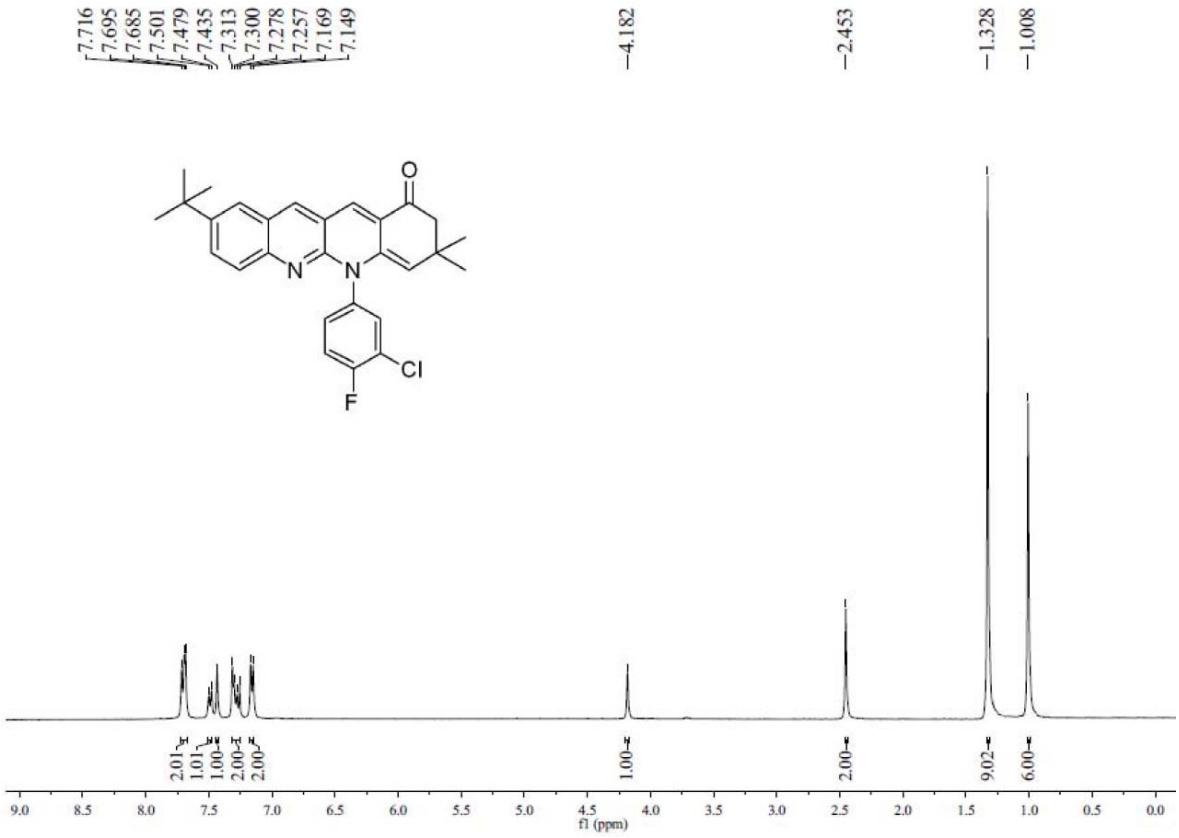
$^{1}$H NMR of compound **3**{*7*, *7*}



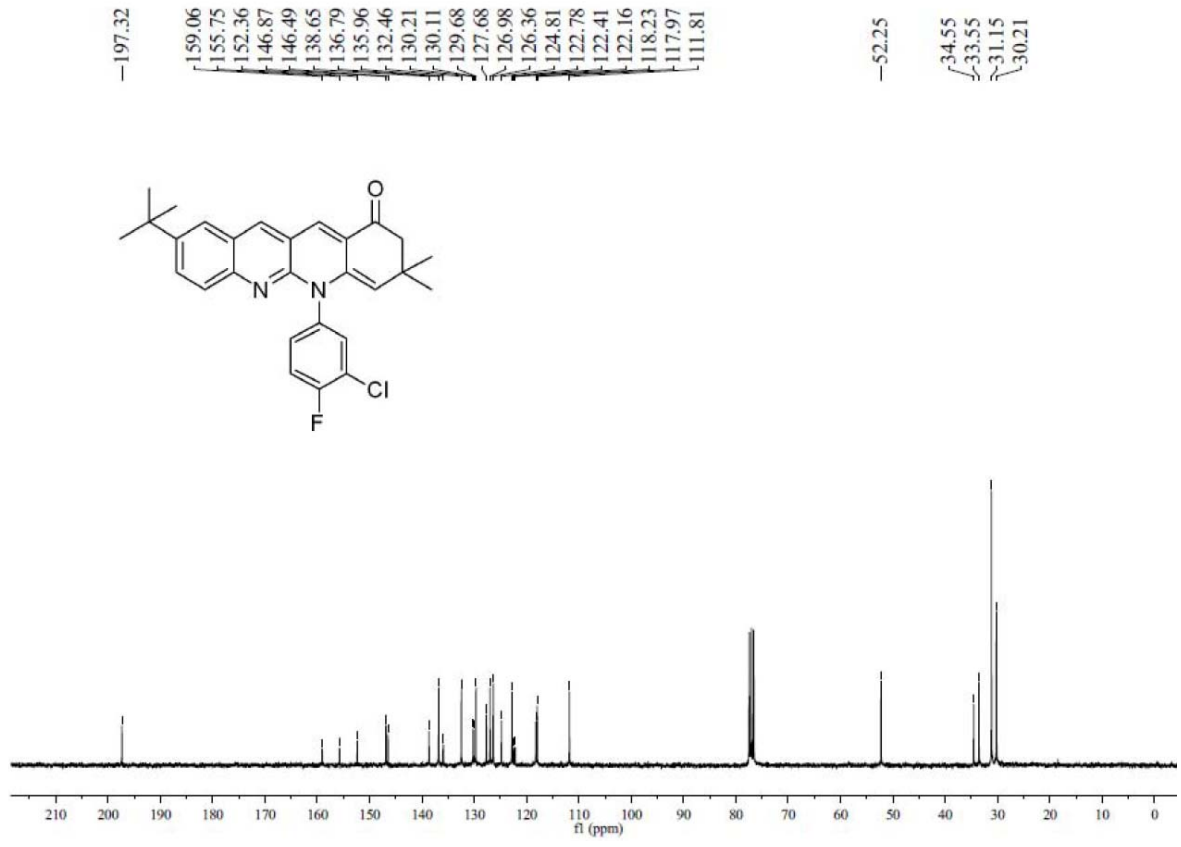

$^{13}$C NMR of compound **3**{*7*, *7*}



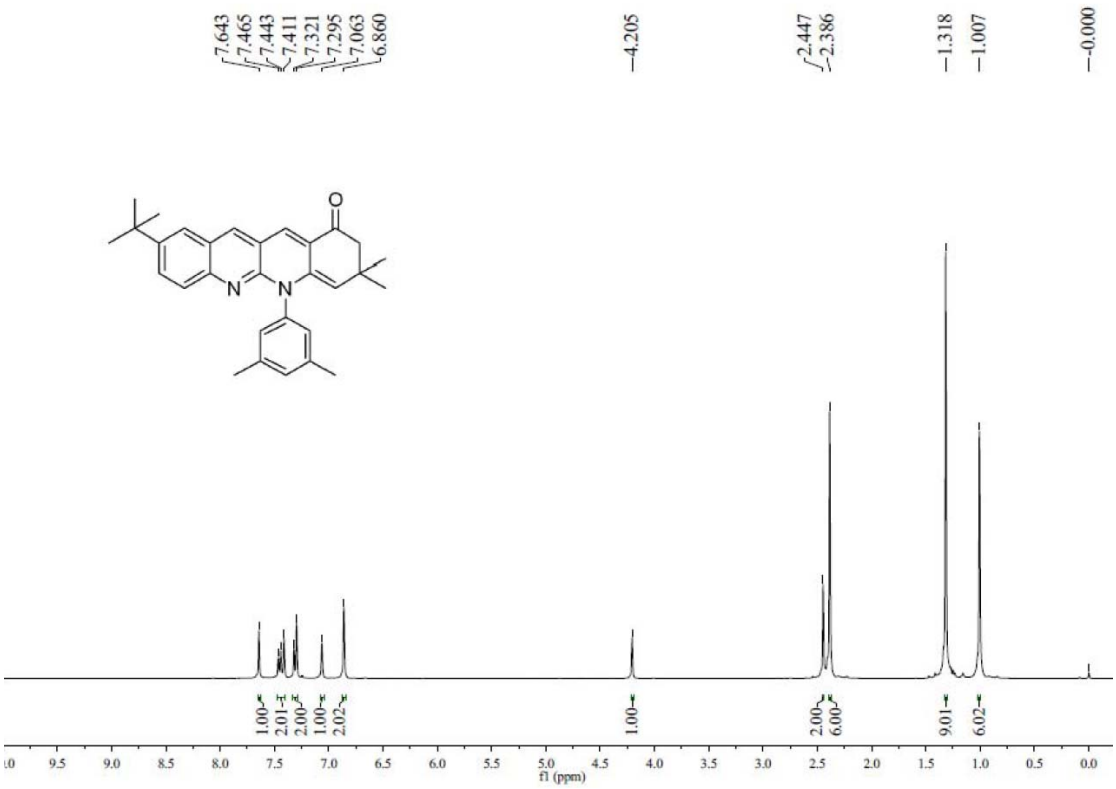
$^{1}$H NMR of compound **3**{*7*, *8*}



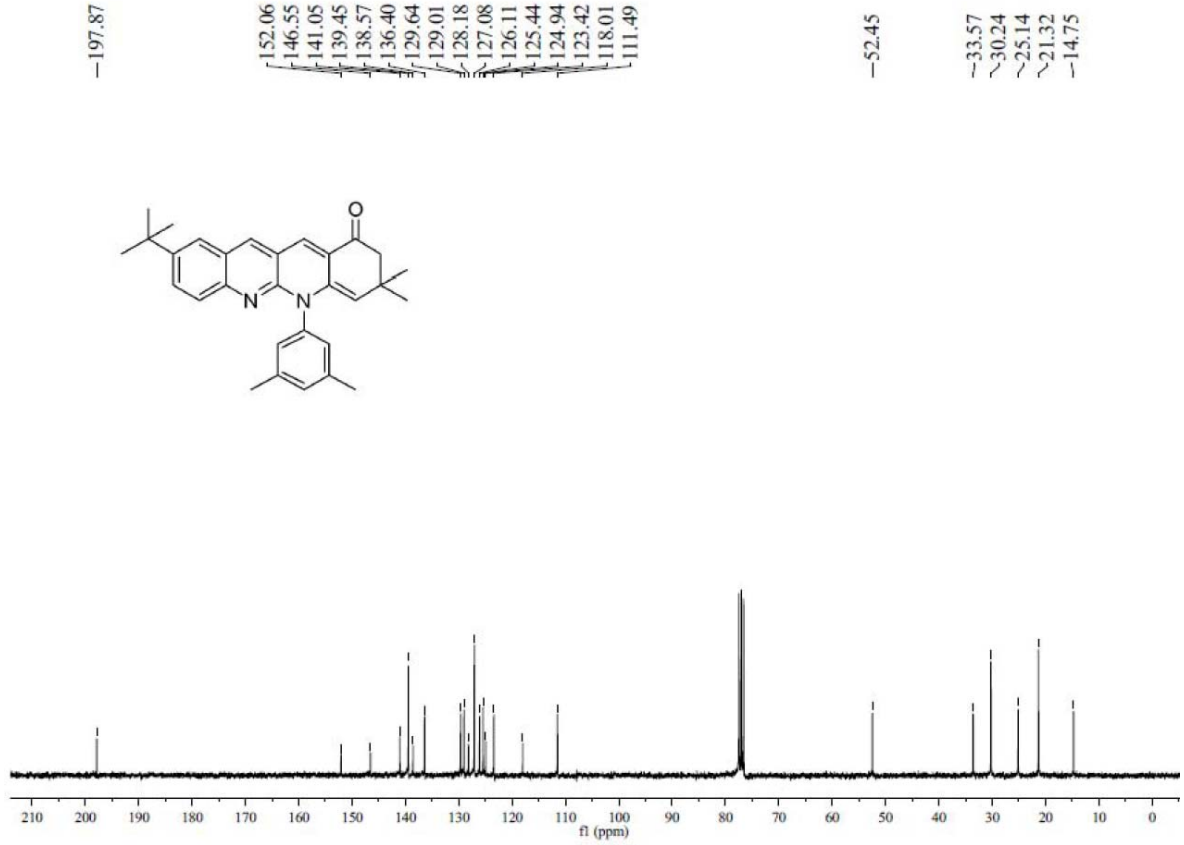

$^{13}$C NMR of compound **3**{*7*, *8*}



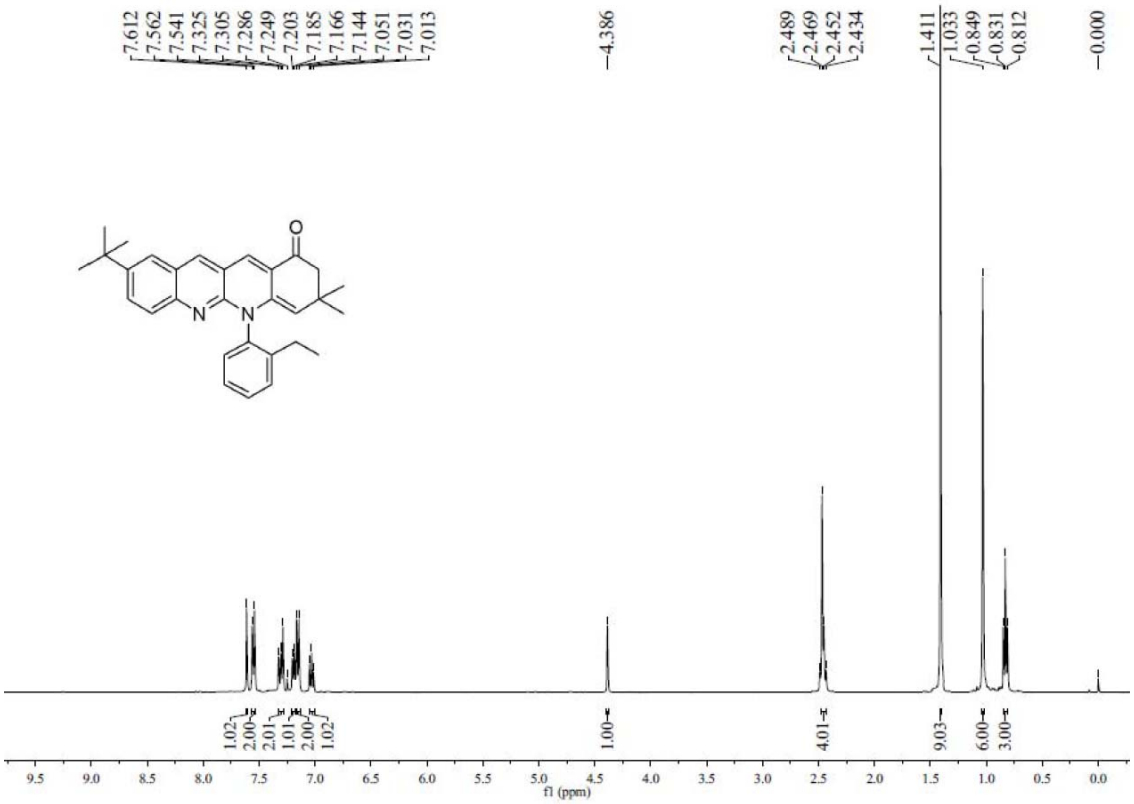
$^{1}$H NMR of compound **3**{*7*, *9*}



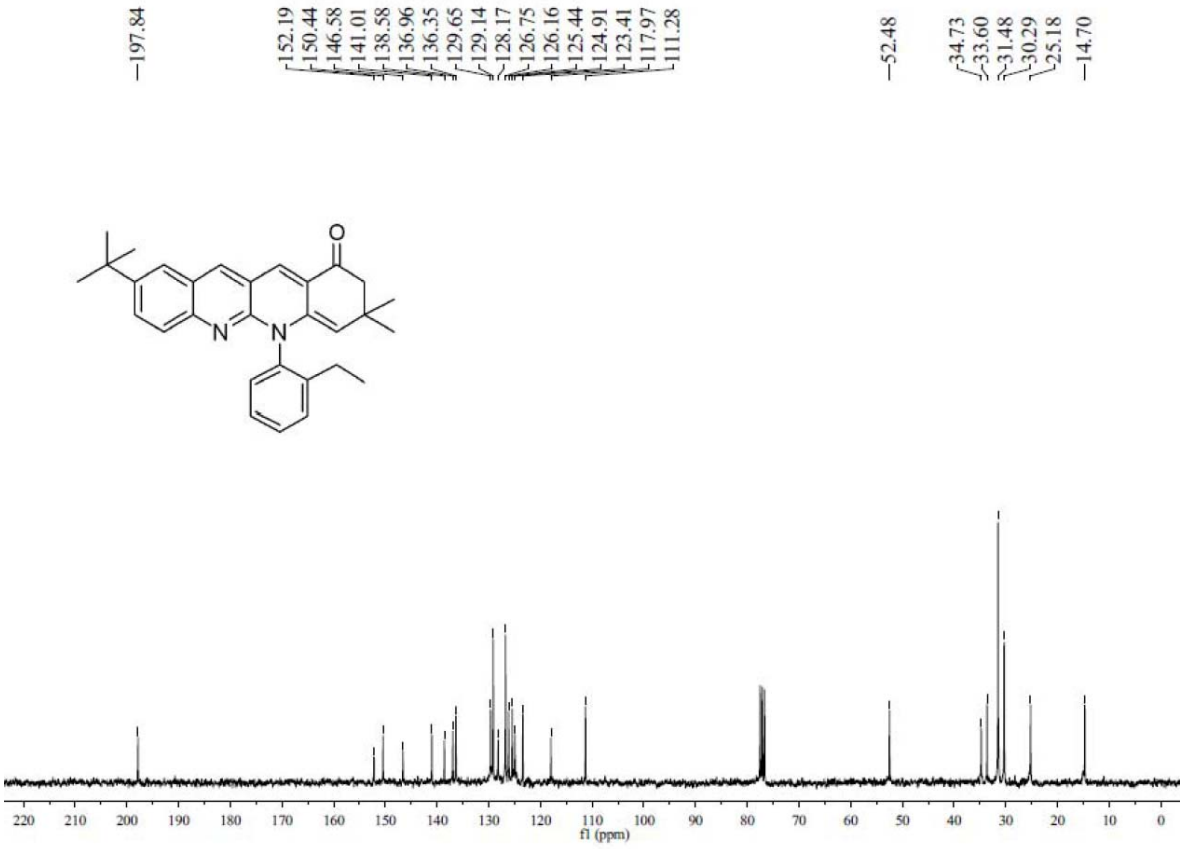

$^{13}$C NMR of compound **3**{*7*, *9*}



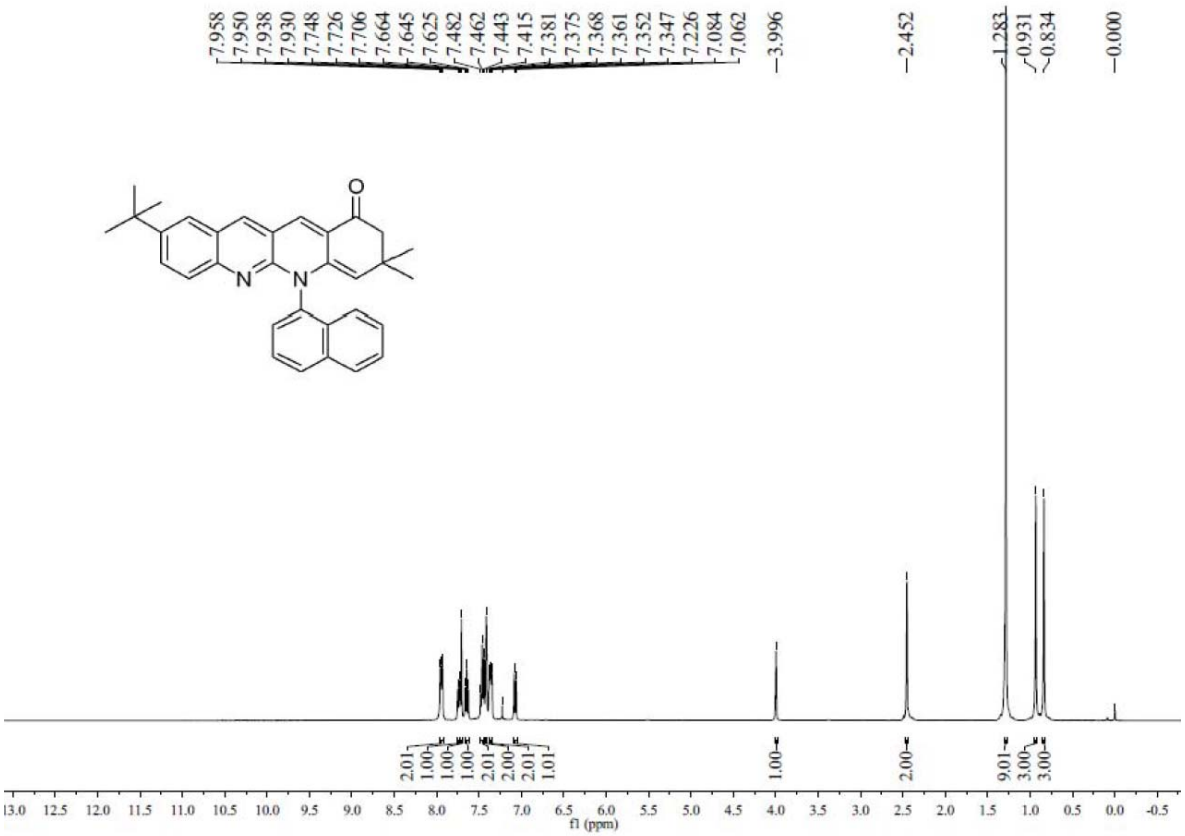
$^{1}$H NMR of compound **3**{*7*, *11*}



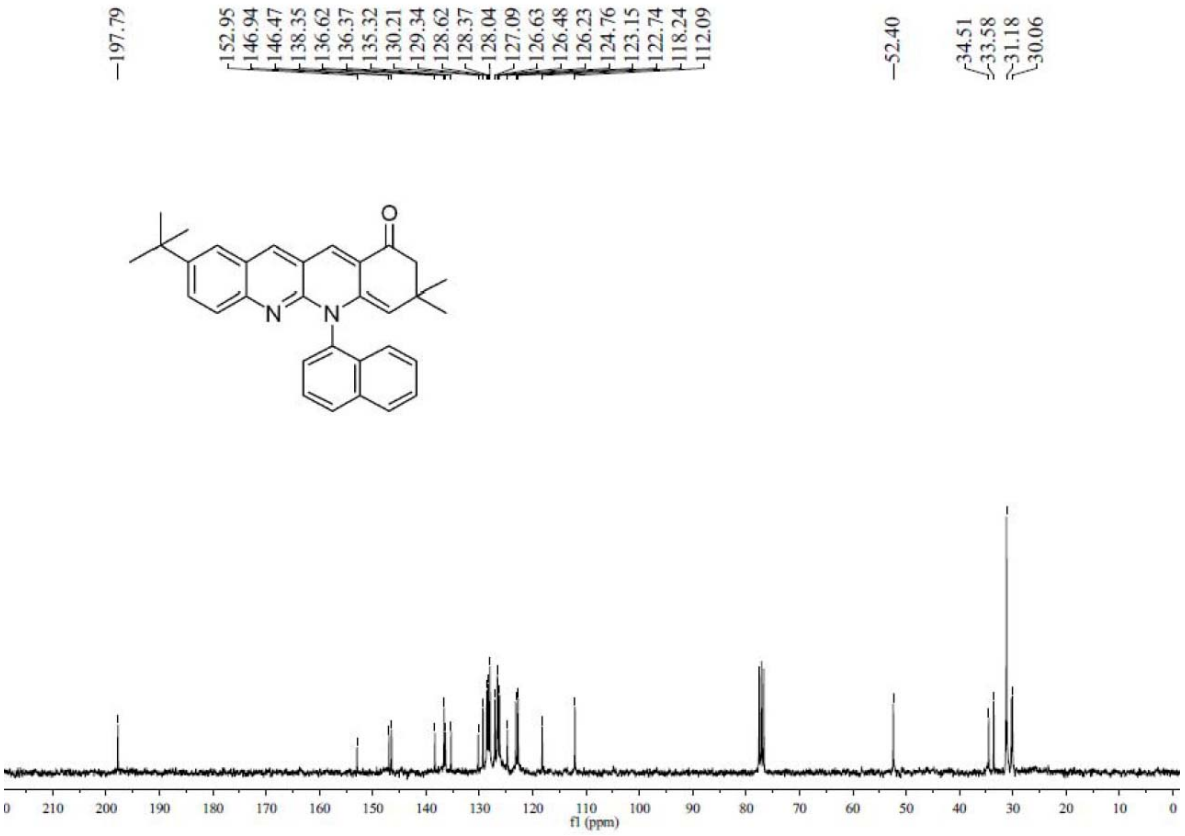

$^{13}$C NMR of compound **3**{*7*, *11*}



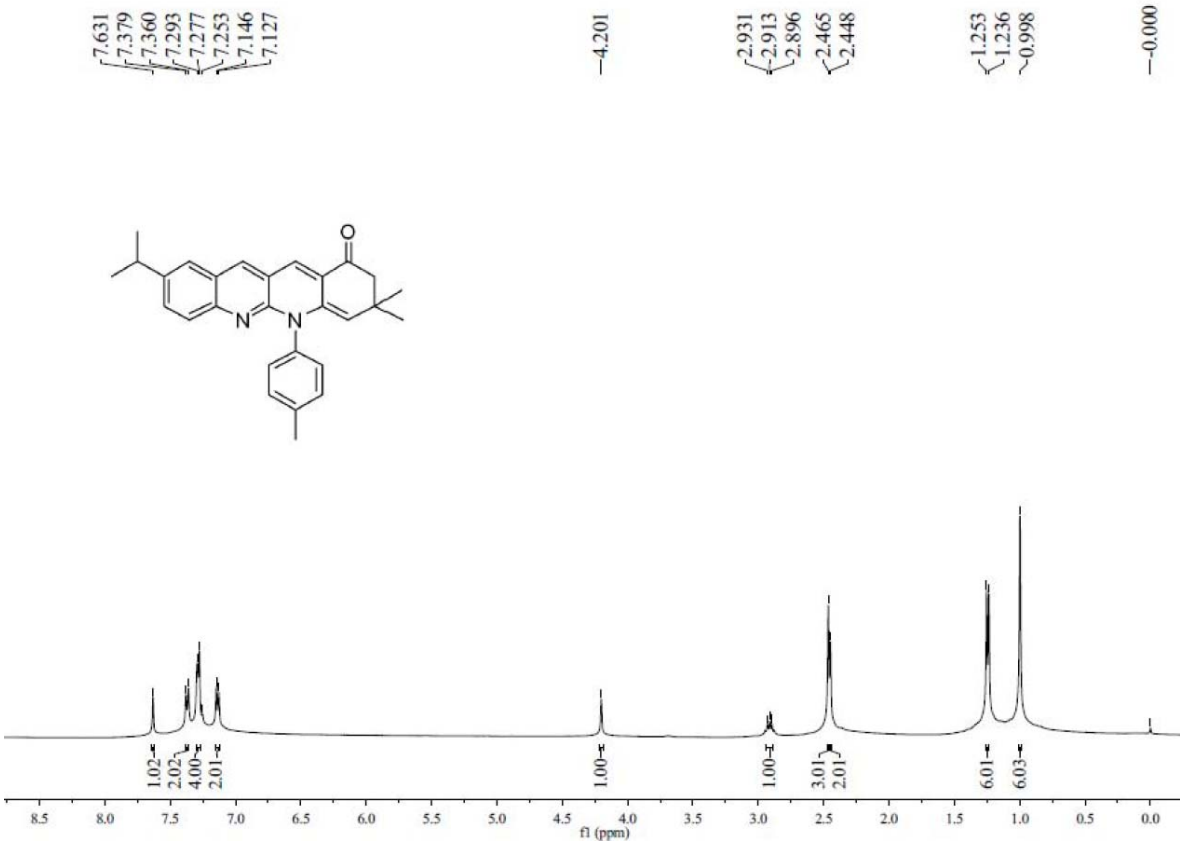
$^{1}$H NMR of compound **3**{*7*, *12*}



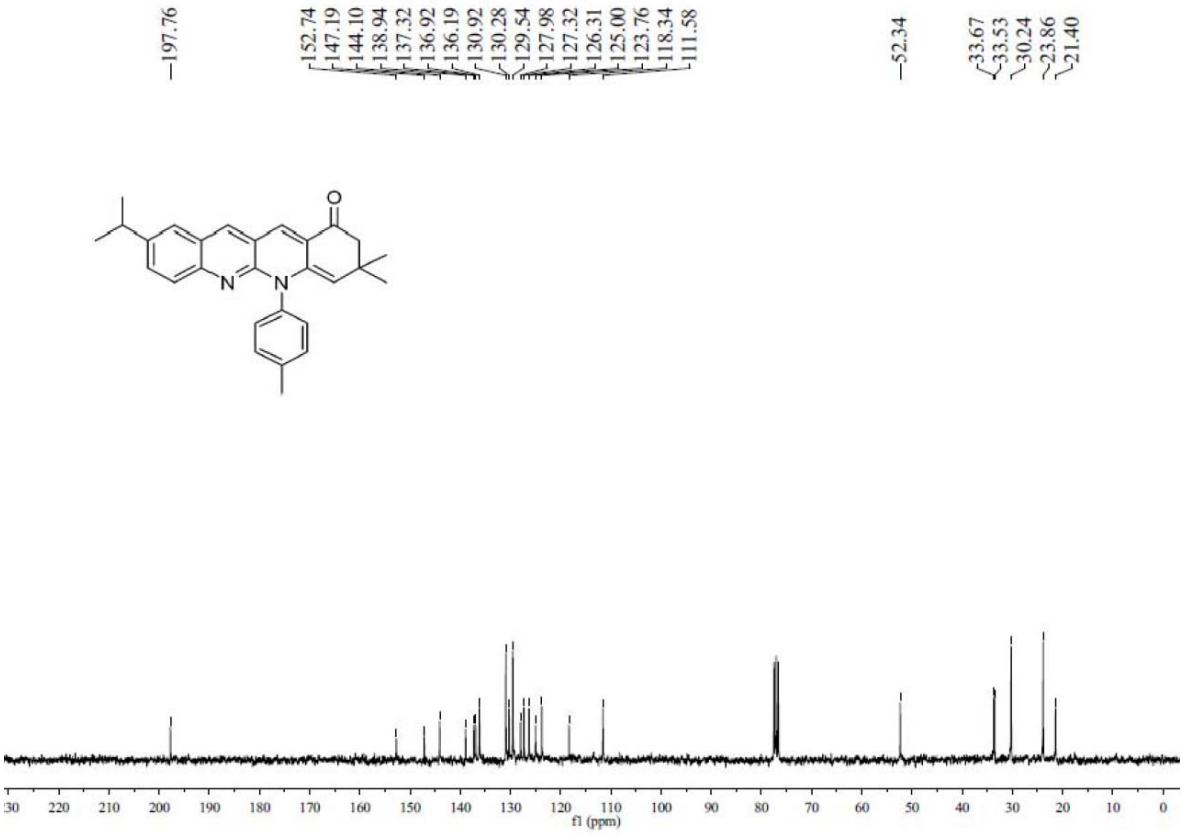

$^{13}$C NMR of compound **3**{*7*, *12*}



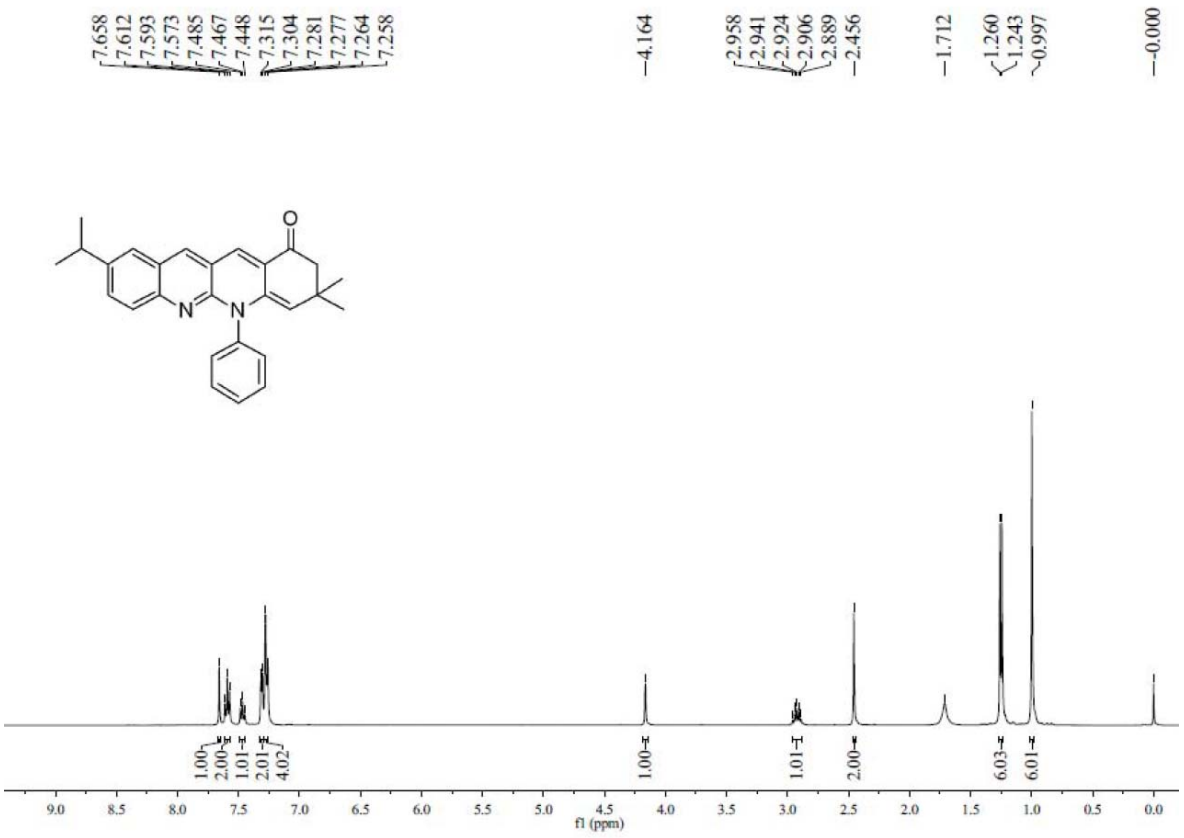
$^{1}$H NMR of compound **3**{*8*, *1*}



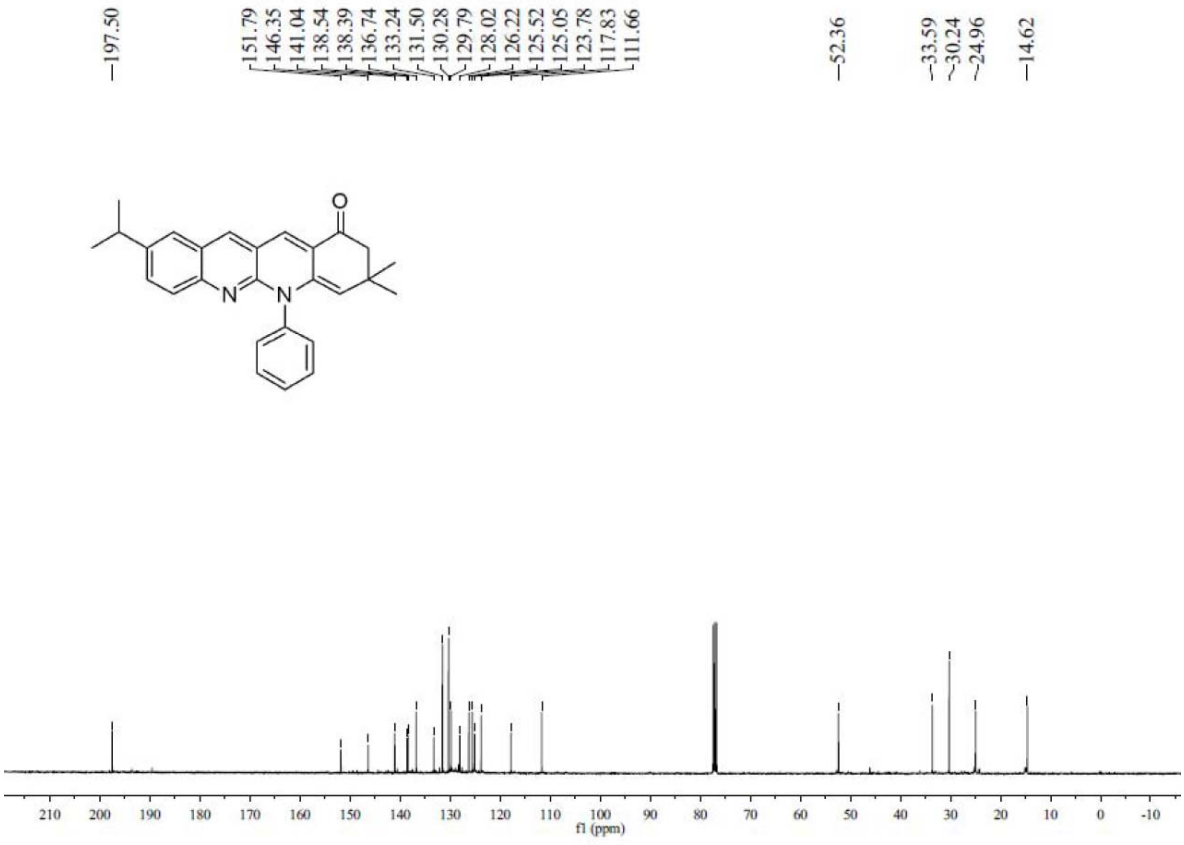

$^{13}$C NMR of compound **3**{*8*, *1*}



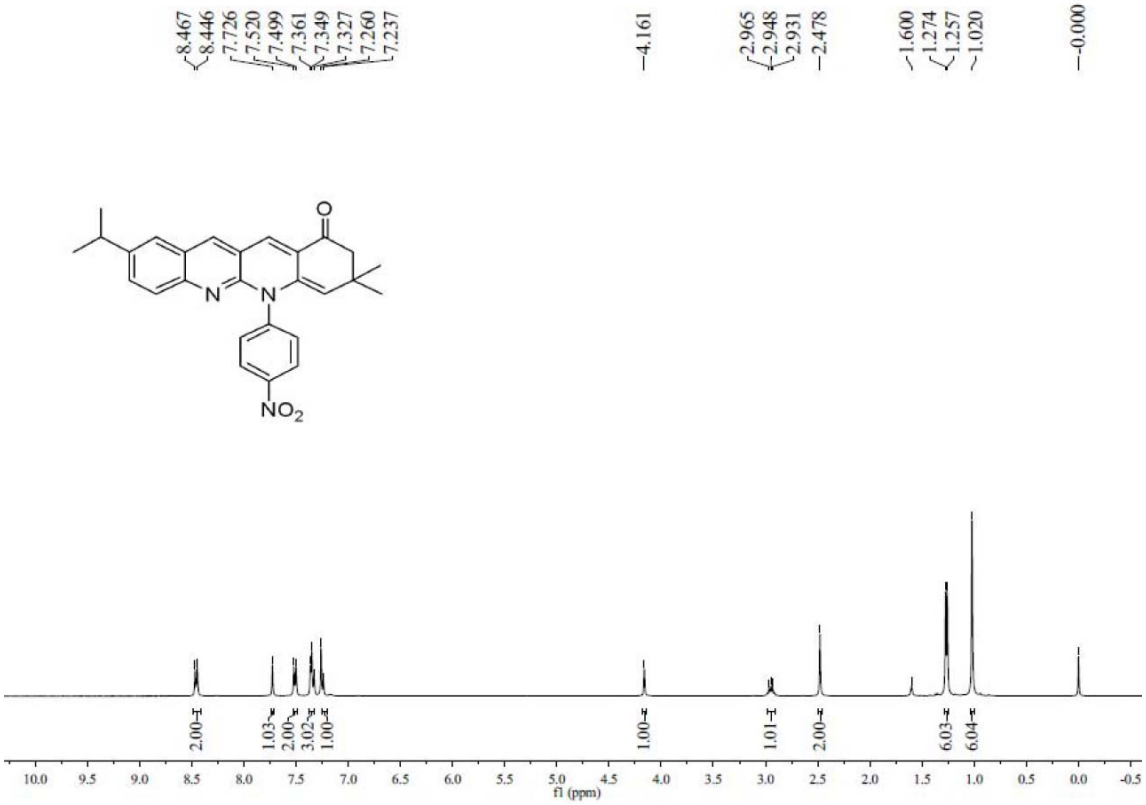
$^{1}$H NMR of compound **3**{*8*, *2*}



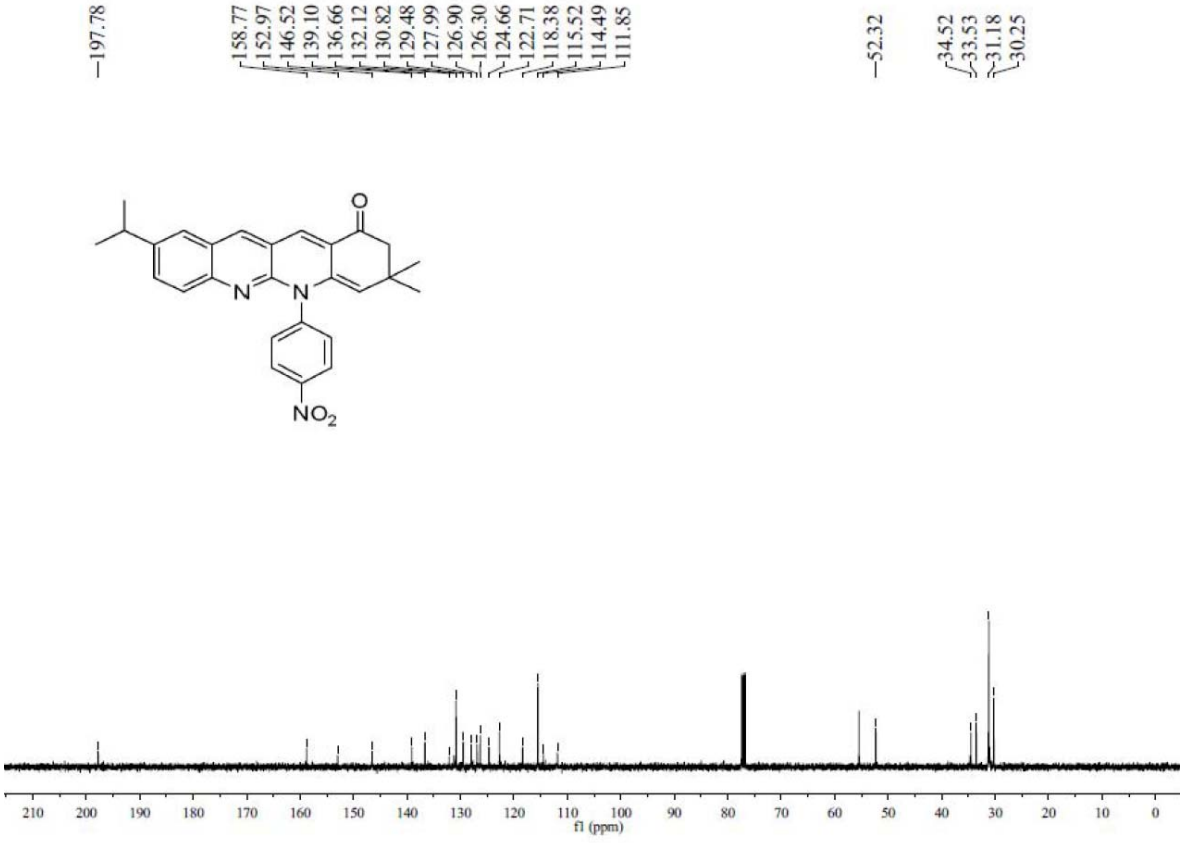

$^{13}$C NMR of compound **3**{*8*, *2*}



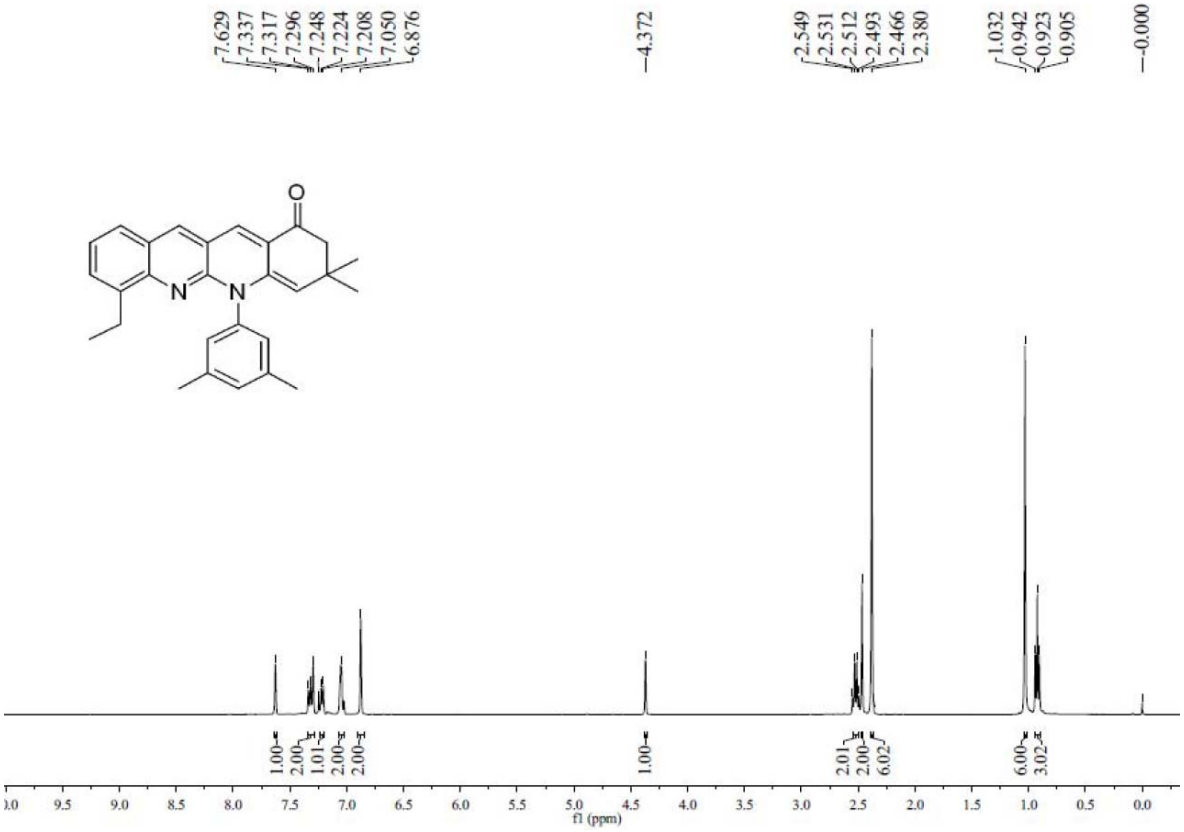
$^{1}$H NMR of compound **3**{*8*, *7*}



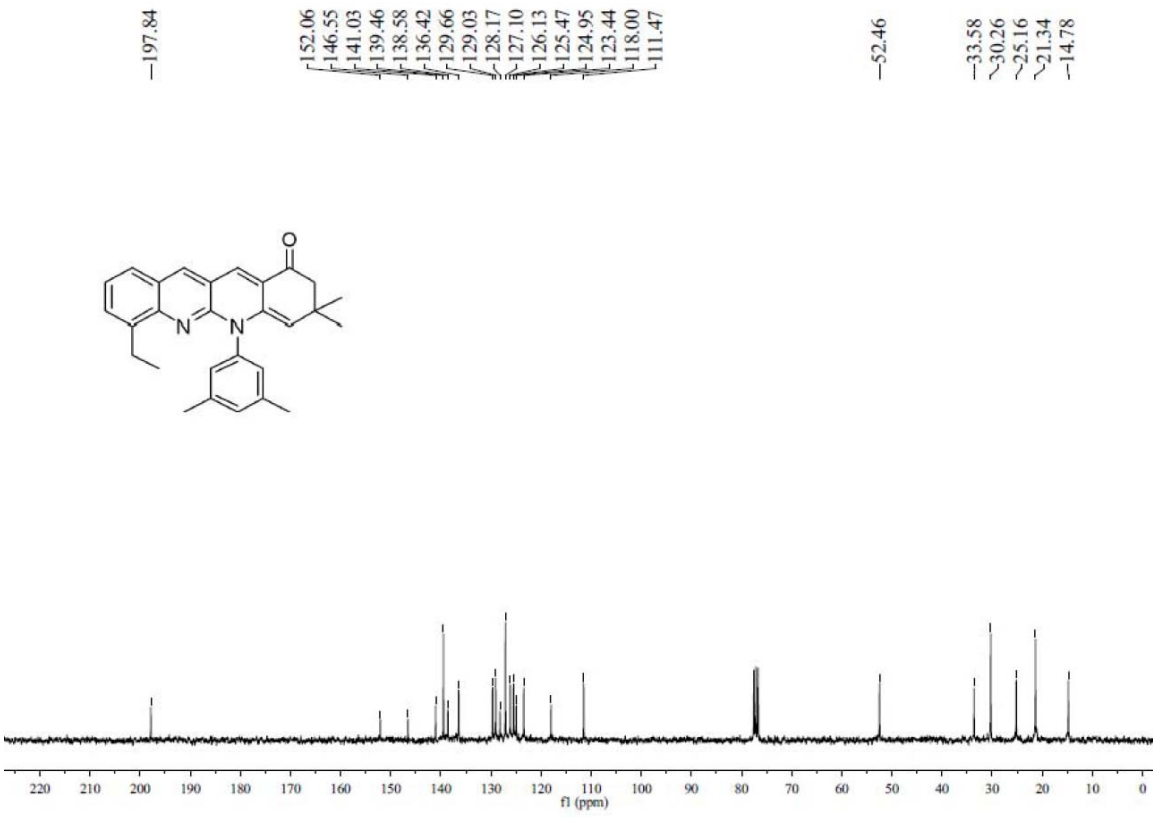

$^{13}$C NMR of compound **3**{*8*, *7*}



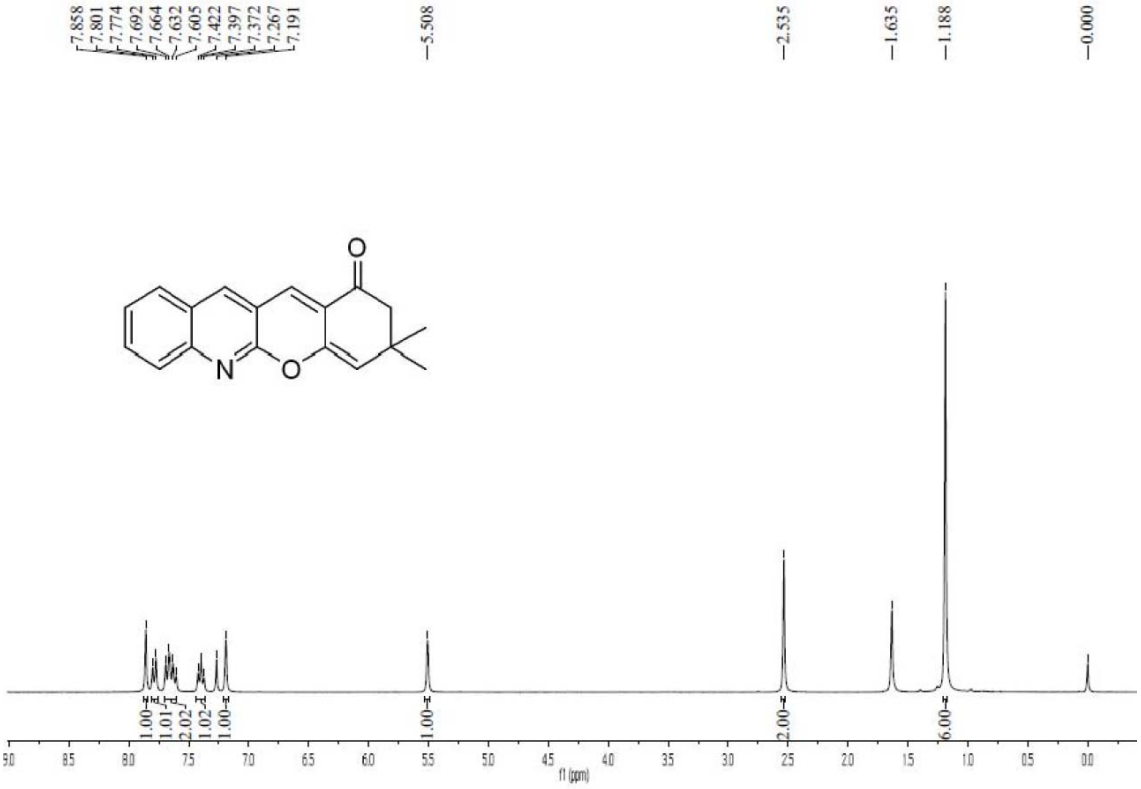
$^{1}$H NMR of compound **3**{*9*, *9*}



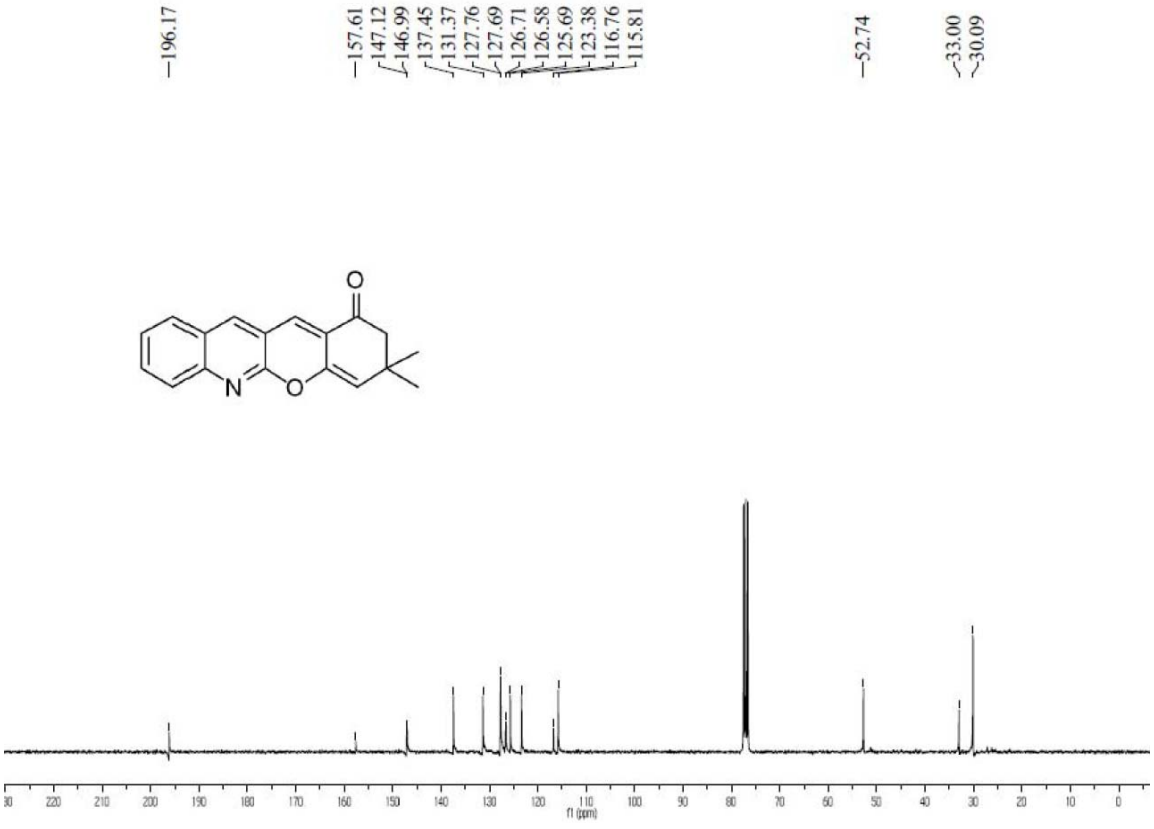

$^{13}$C NMR of compound **3**{*9*, *9*}



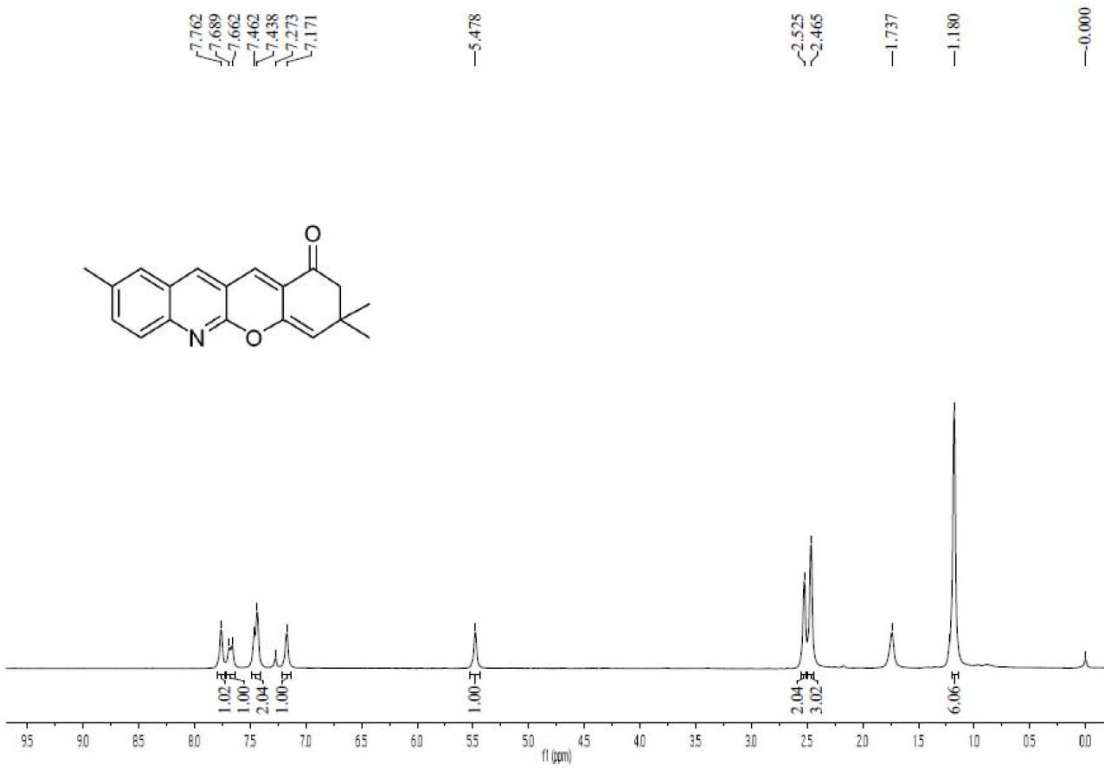
$^{1}$H NMR of compound **5**{*1,1*}



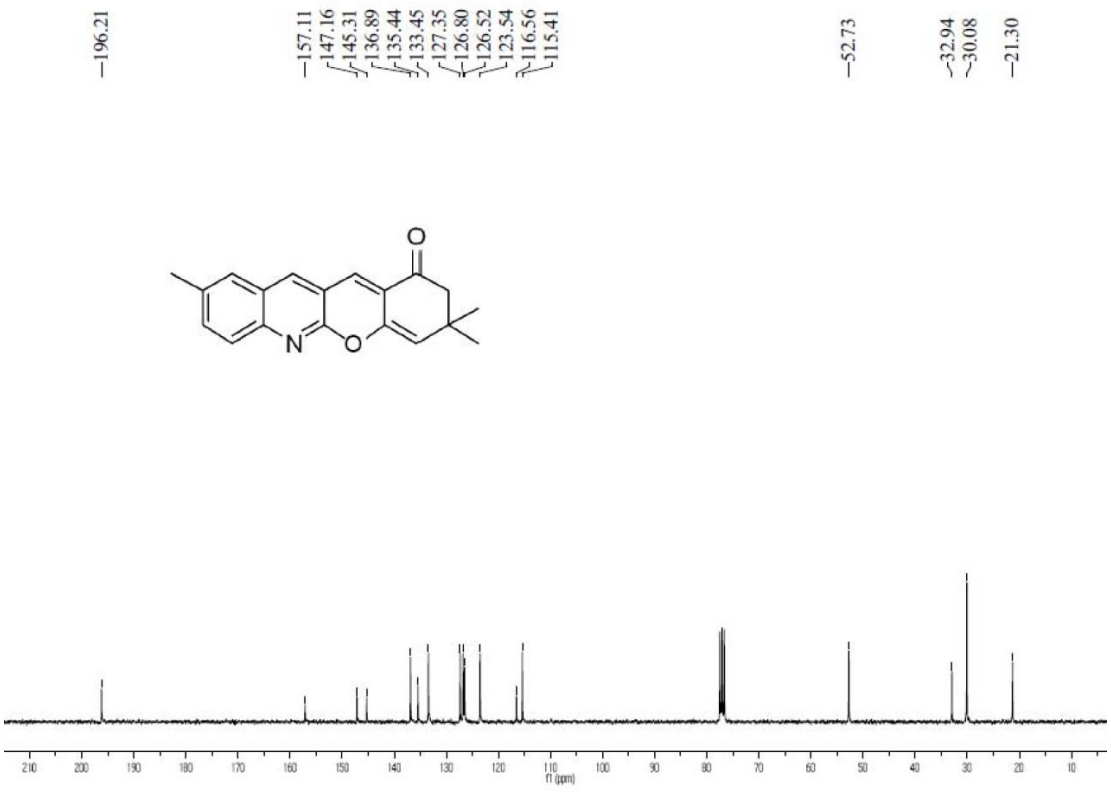

$^{13}$C NMR of compound **5**{*1,1*}



$^{1}$H NMR of compound **5**{*2,1*}

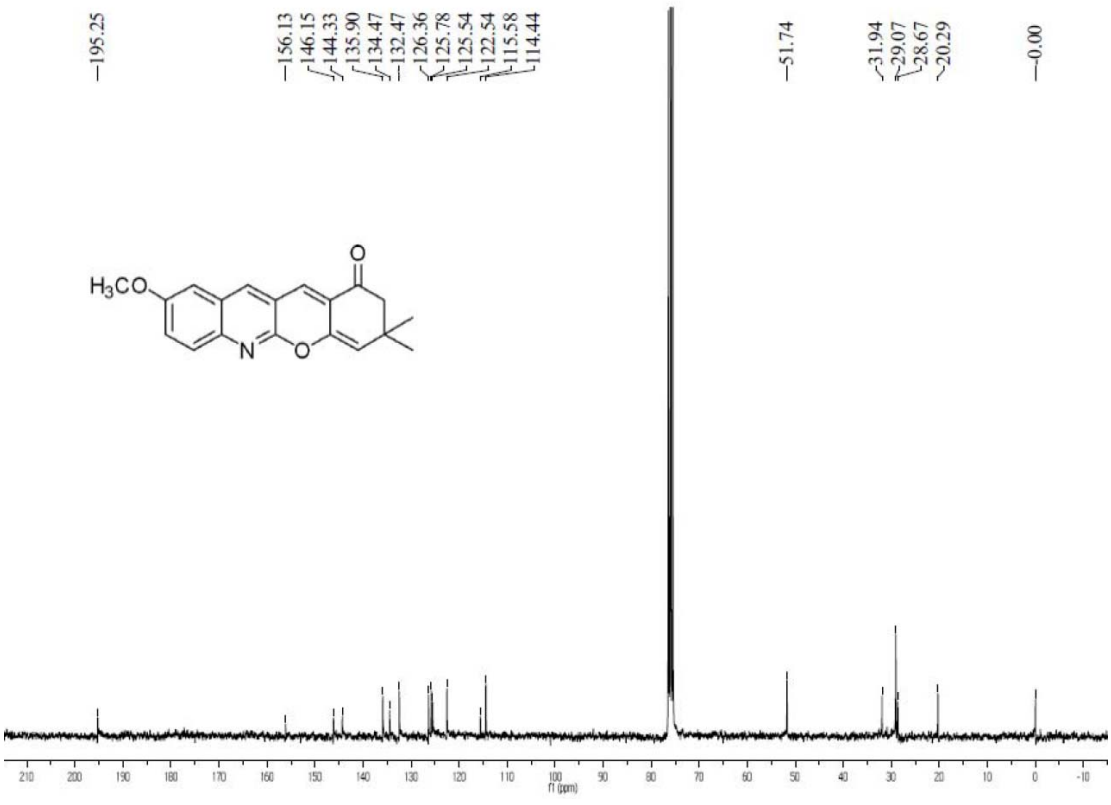



$^{13}$C NMR of compound **5**{*2,1*}

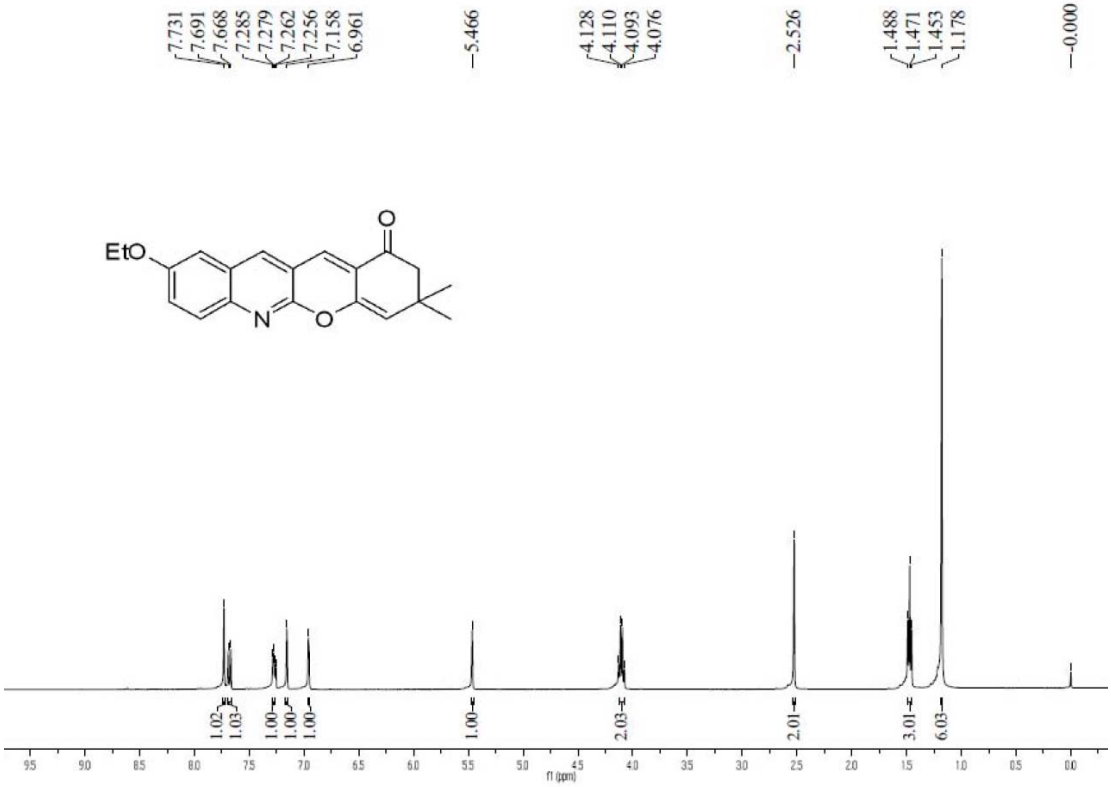


$^{1}$H NMR of compound **5**{*3,1*}

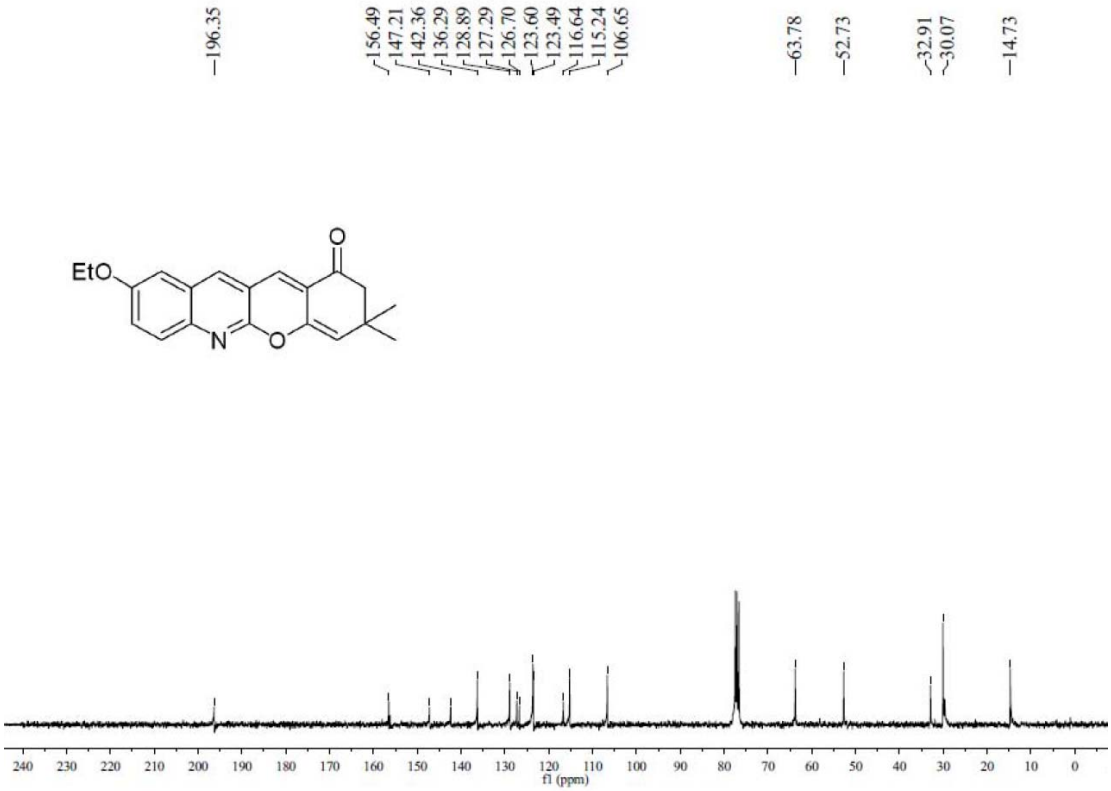

$^{13}$C NMR of compound **5**{*3,1*}



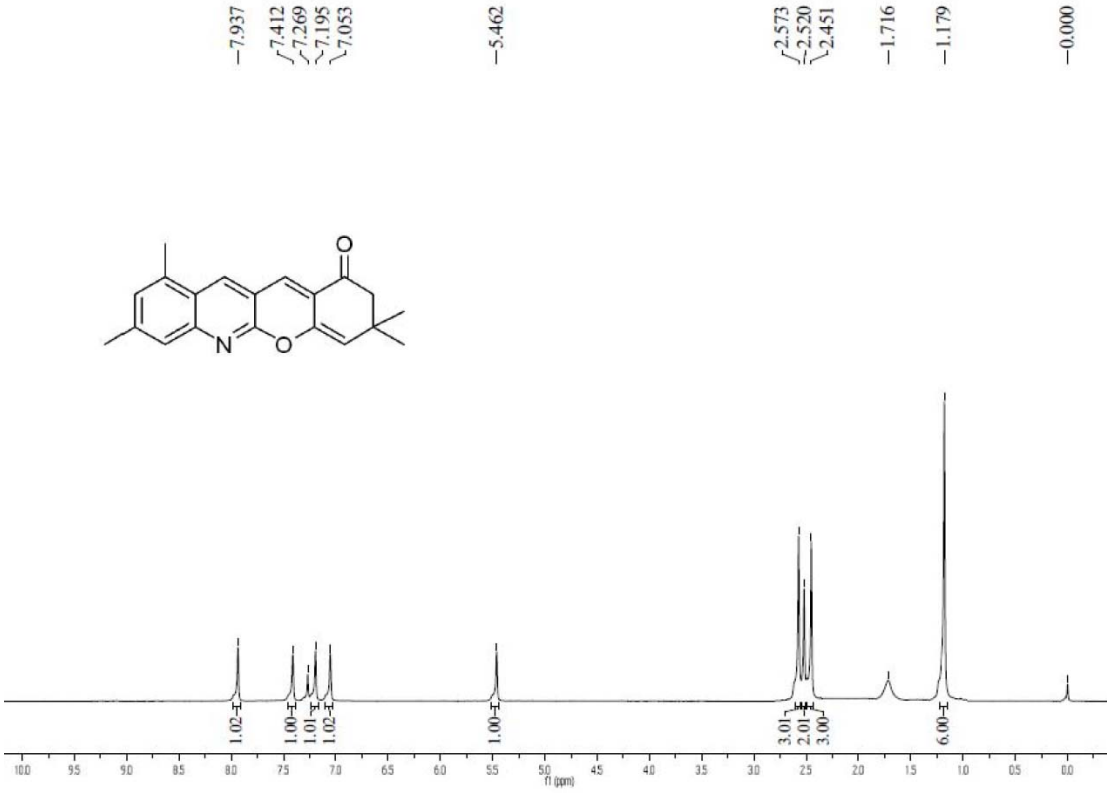
$^{1}$H NMR of compound **5**{*4,1*}



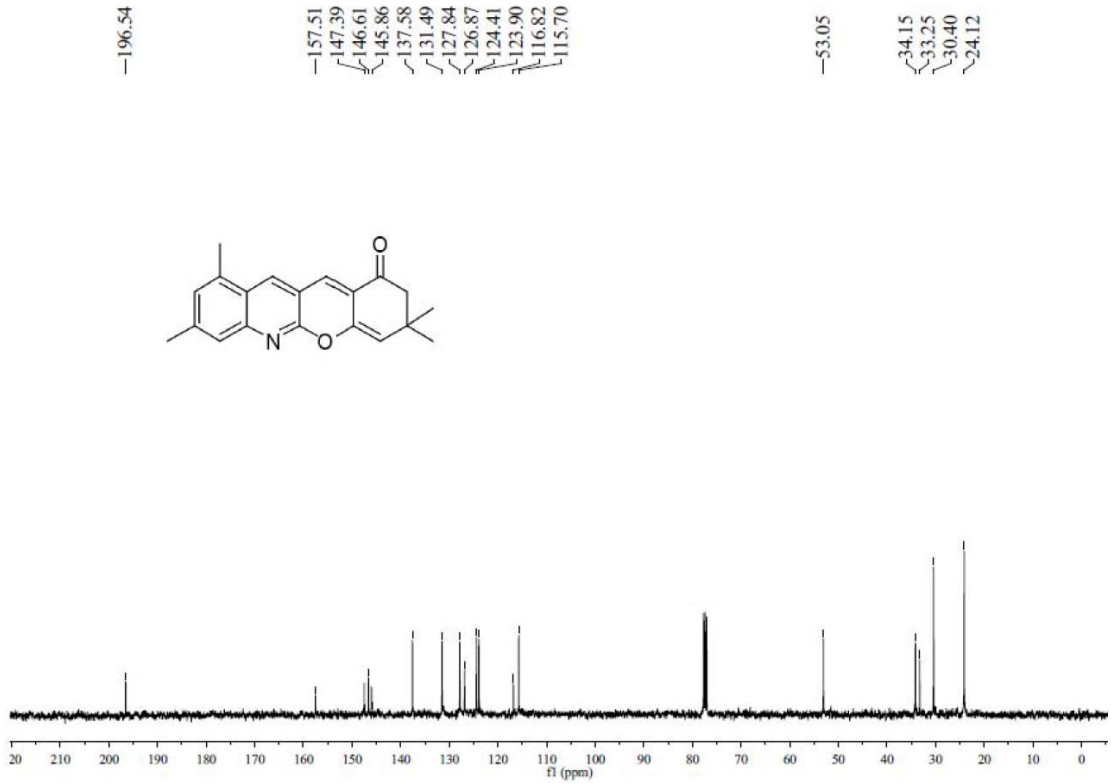

$^{13}$C NMR of compound **5**{*4,1*}



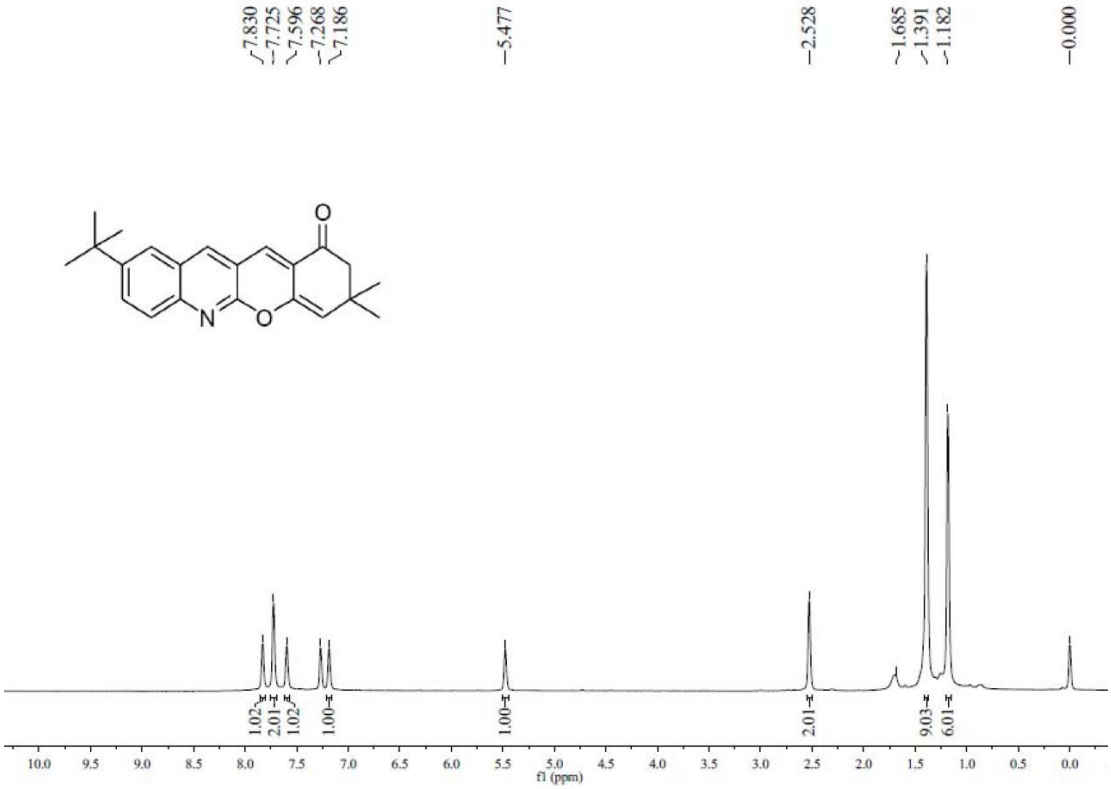
$^{1}$H NMR of compound **5**{*5,1*}



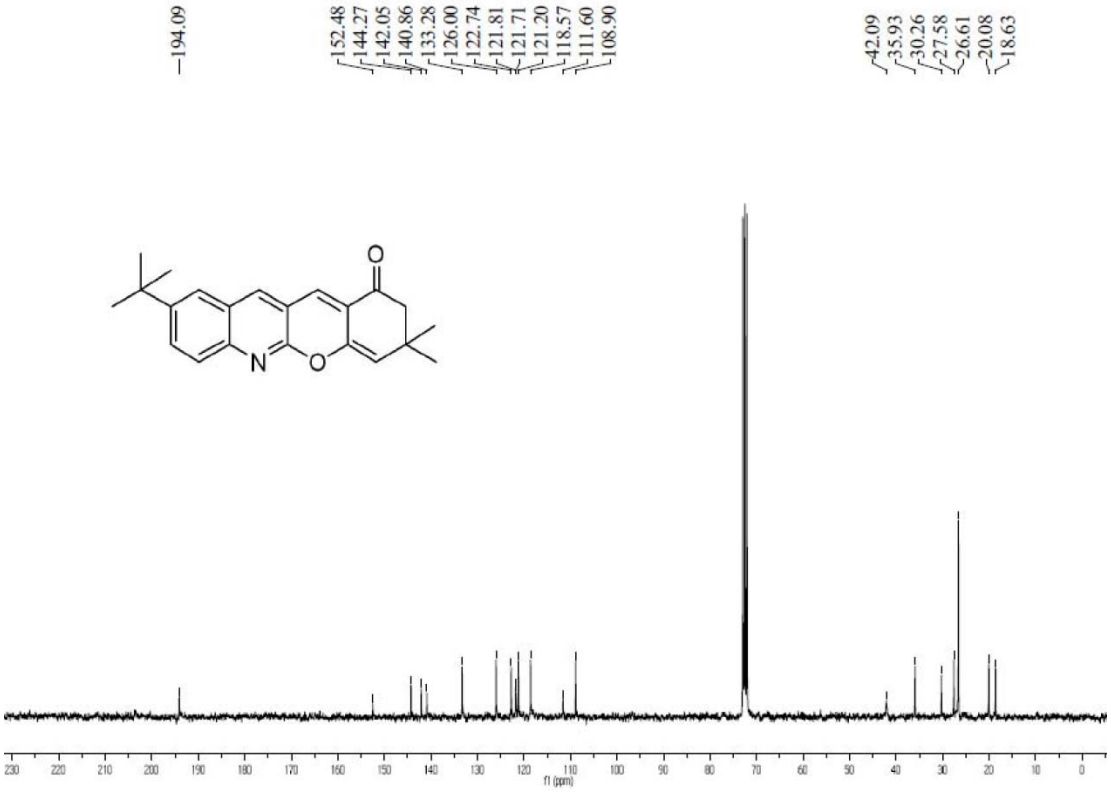

$^{13}$C NMR of compound **5**{*5,1*}



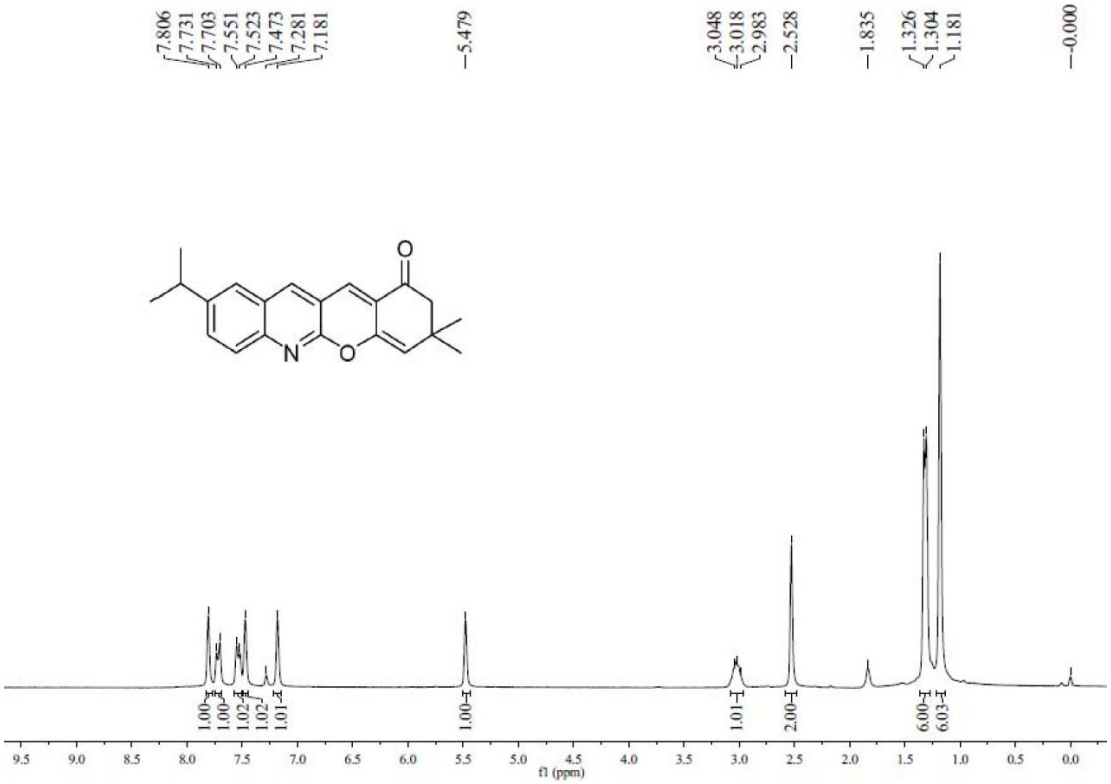
$^{1}$H NMR of compound **5**{*7,1*}



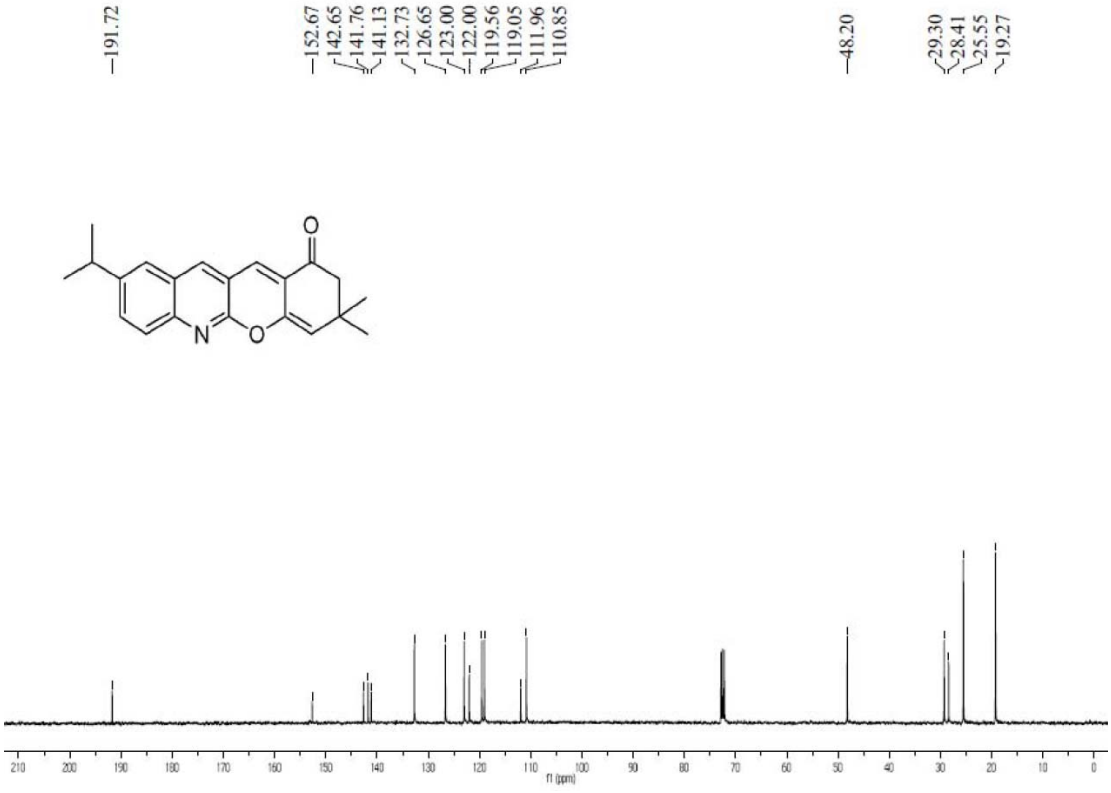

$^{13}$C NMR of compound **5**{*7,1*}



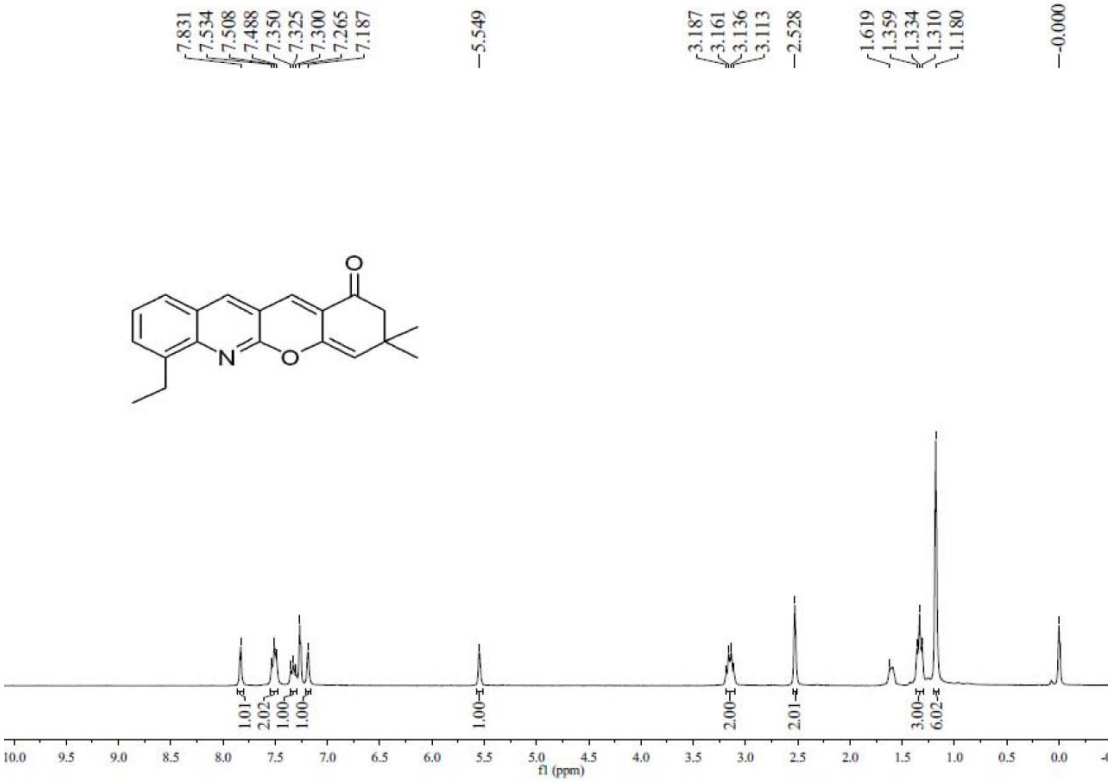
$^{1}$H NMR of compound **5**{*8,1*}



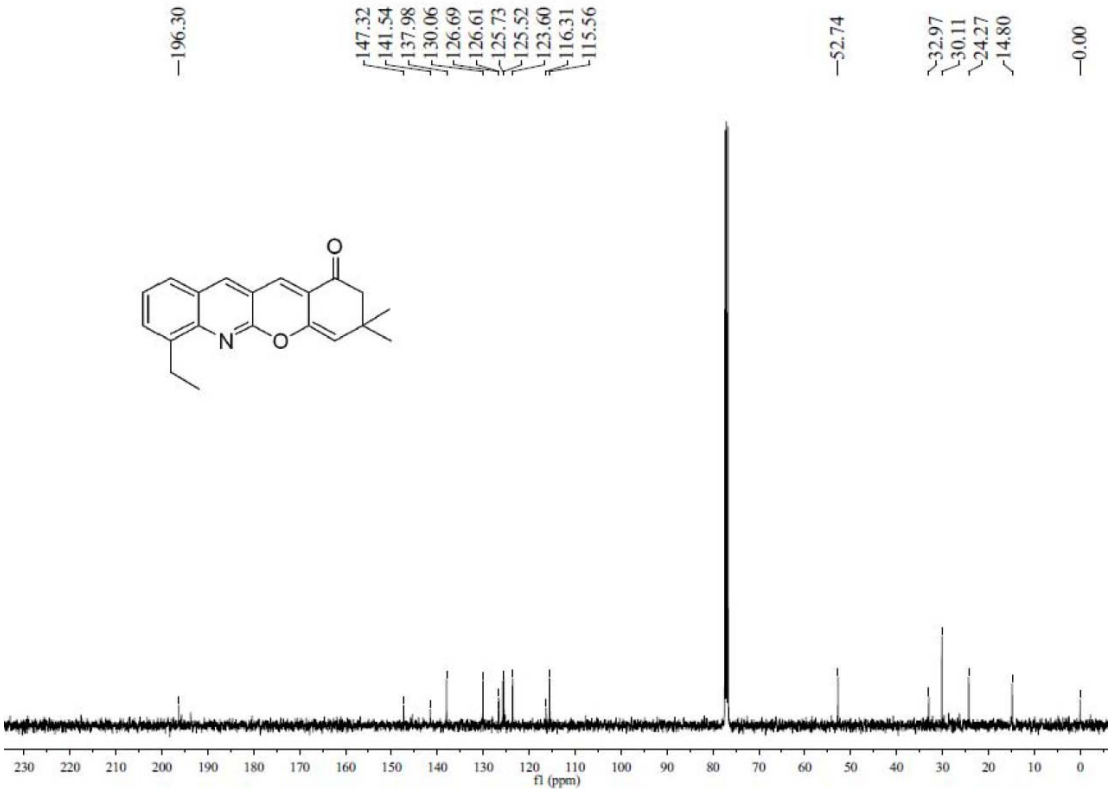

$^{13}$C NMR of compound **5**{*8,1*}



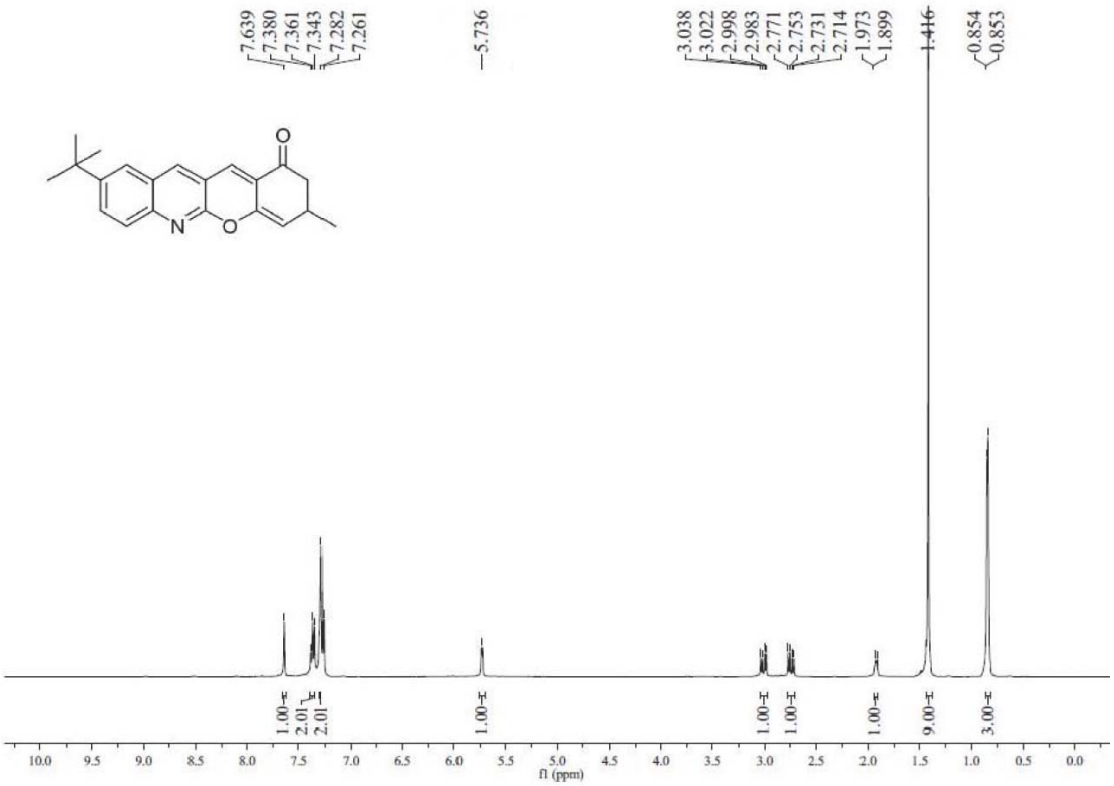
$^{1}$H NMR of compound **5**{*9,1*}



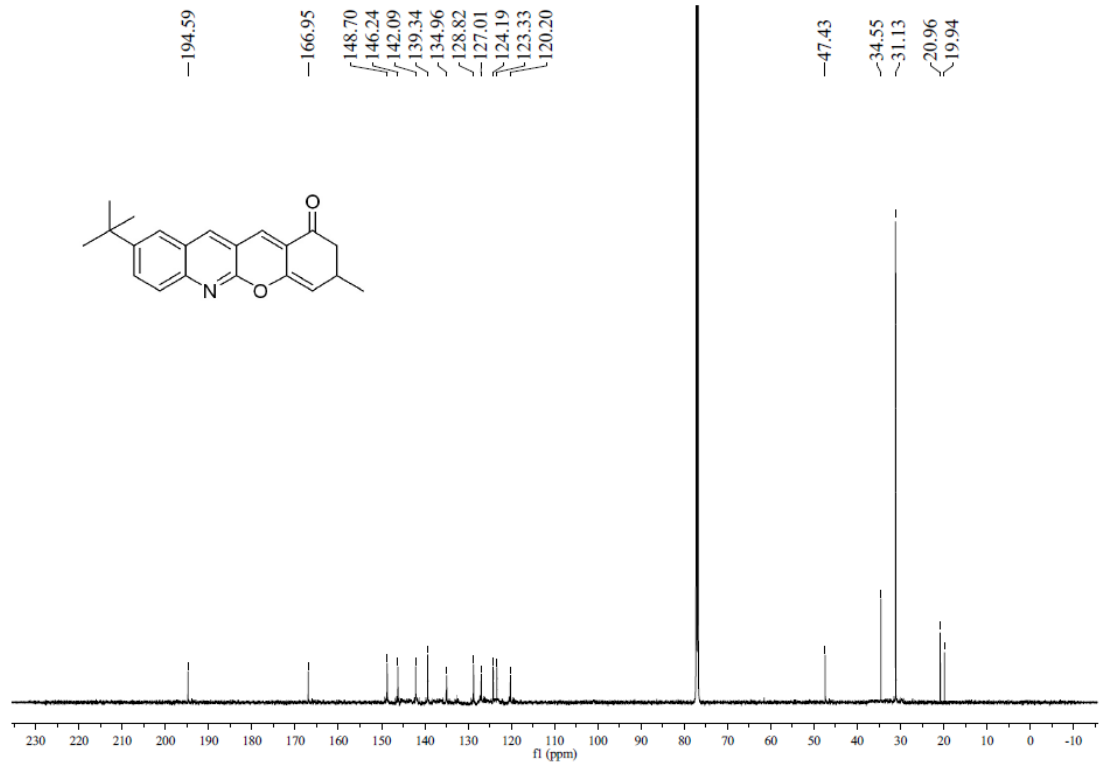

$^{13}$C NMR of compound **5**{*9,1*}



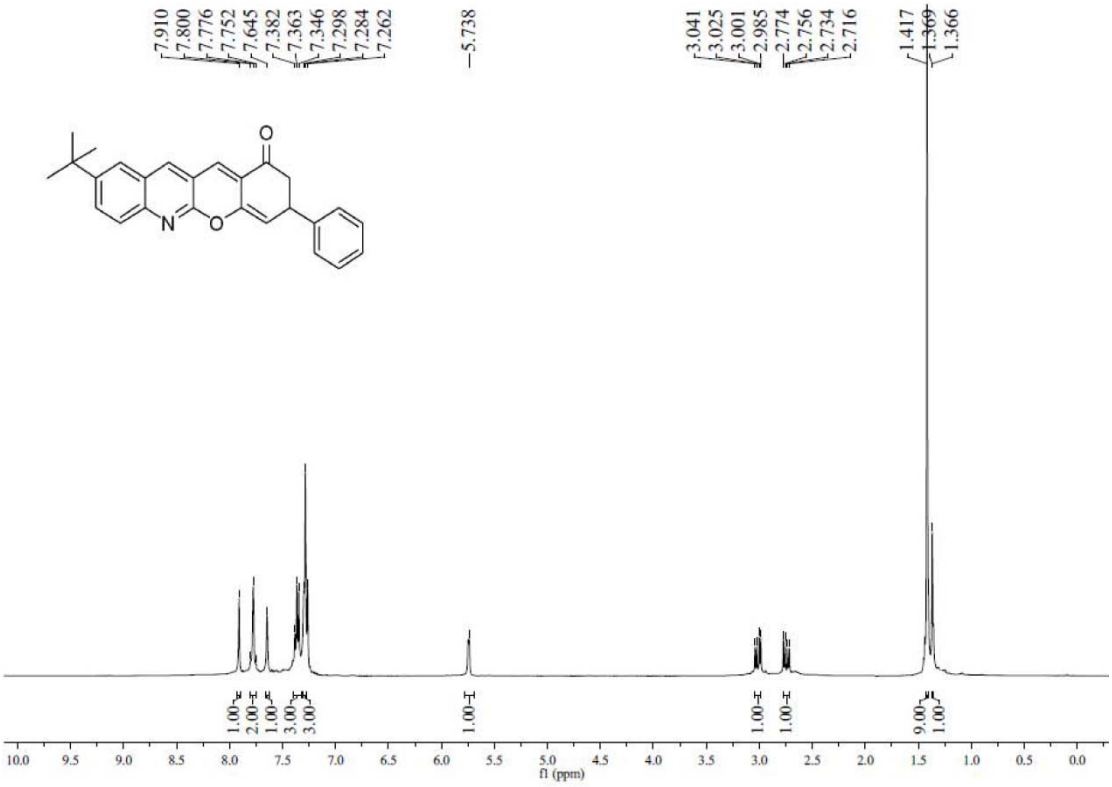
$^{1}$H NMR of compound **5**{*7,2*}



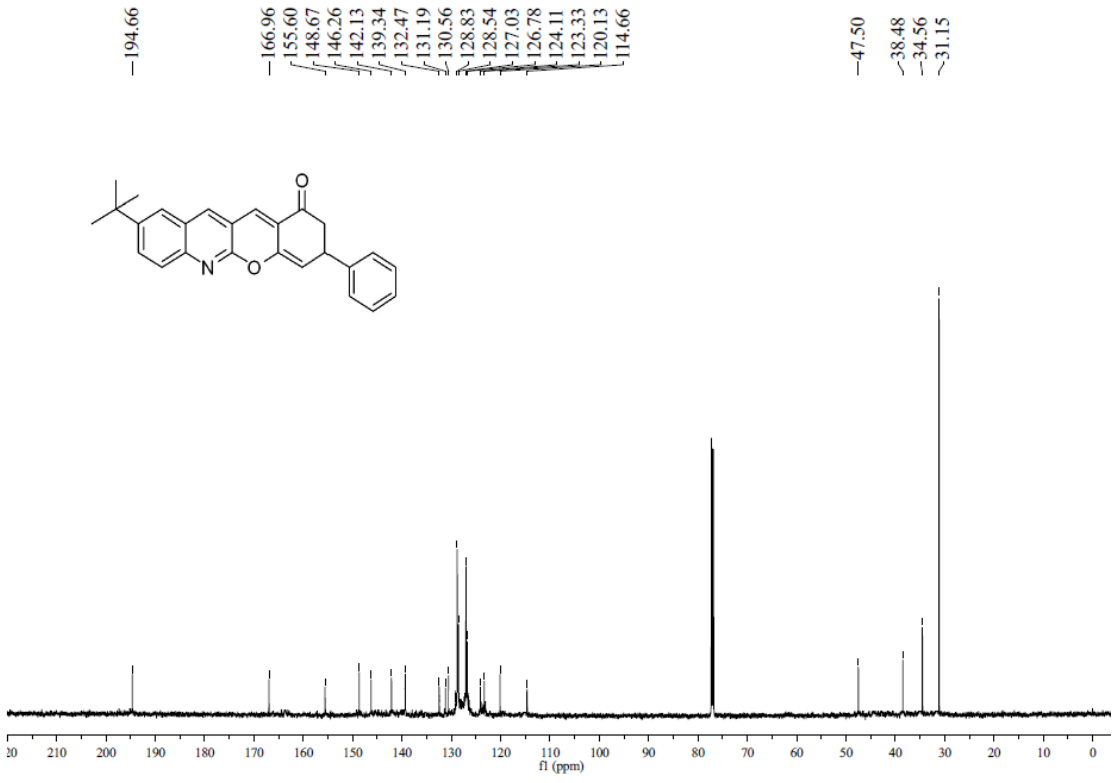

$^{13}$C NMR of compound **5**{*7,2*}



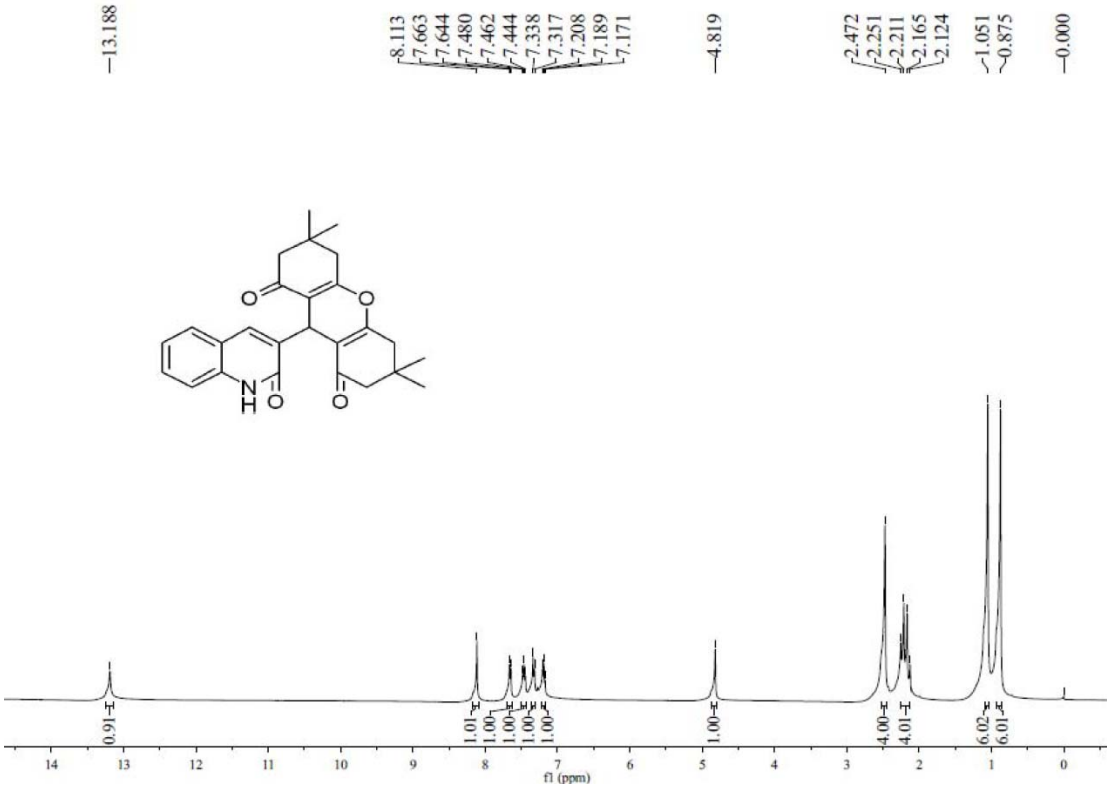
$^{1}$H NMR of compound **5**{*7,3*}



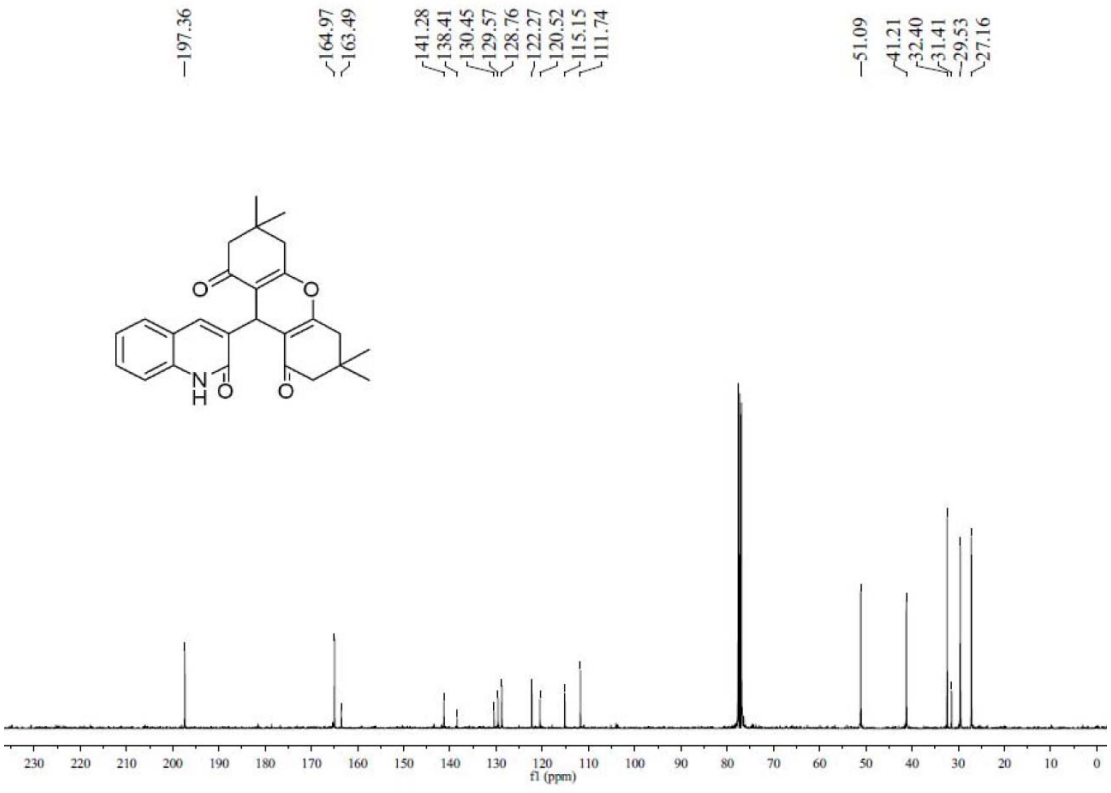

$^{13}$C NMR of compound **5**{*7,3*}



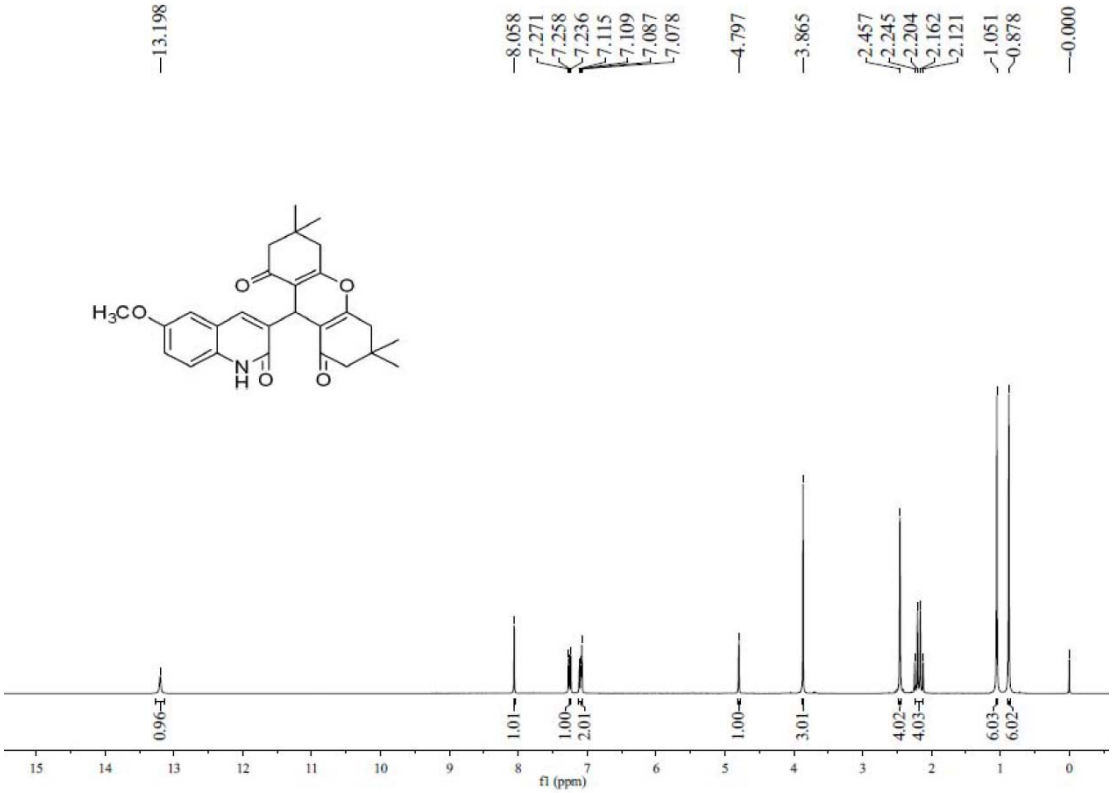
$^{1}$H NMR of compound **6**{*1,1*}



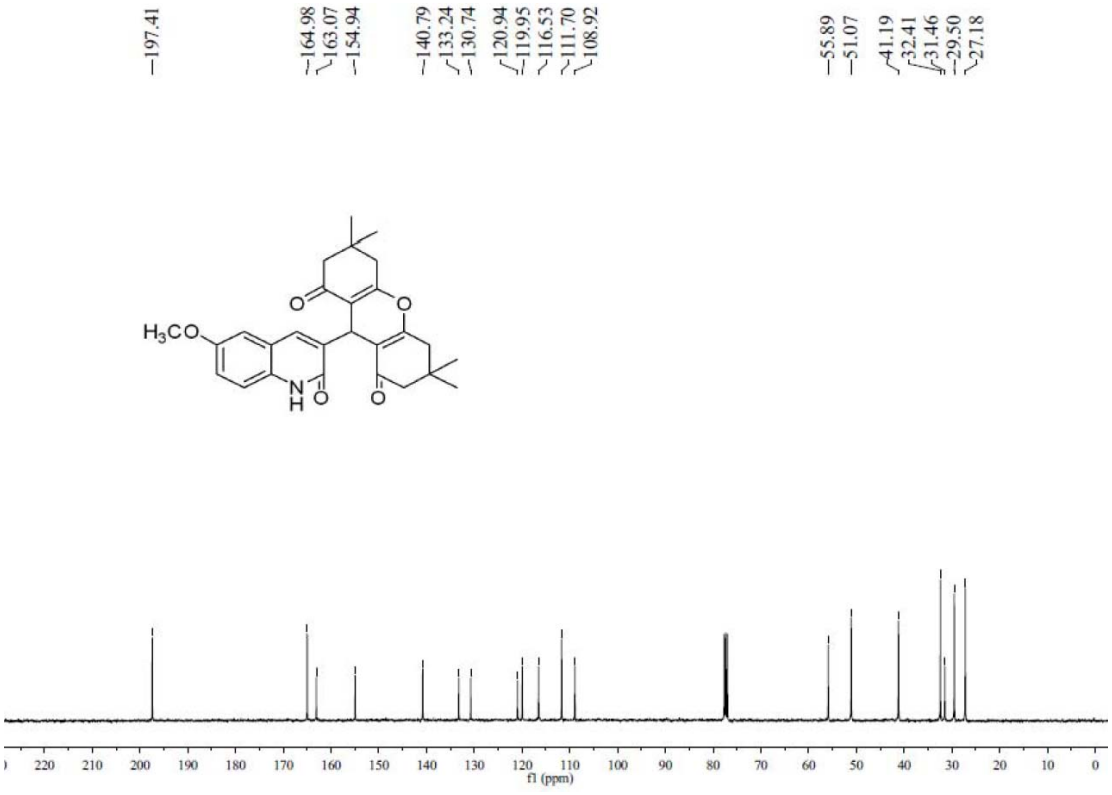

$^{13}$C NMR of compound **6**{*1,1*}



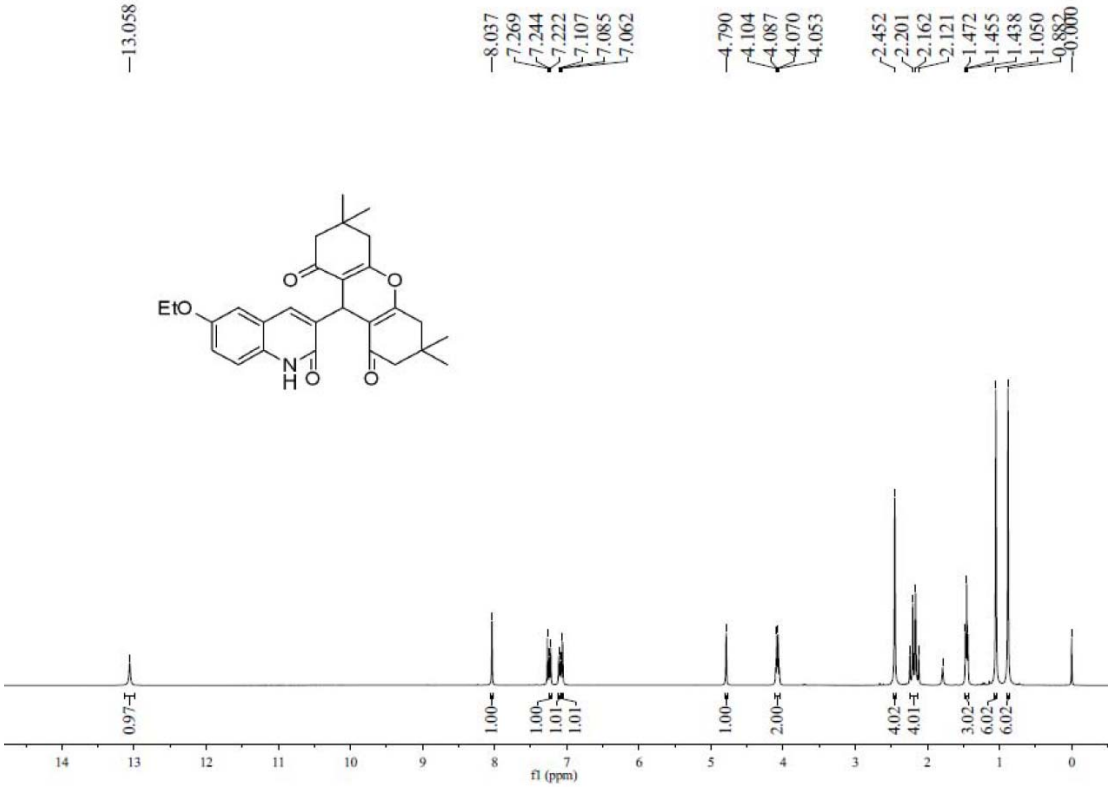
$^{1}$H NMR of compound **6**{*3,1*}



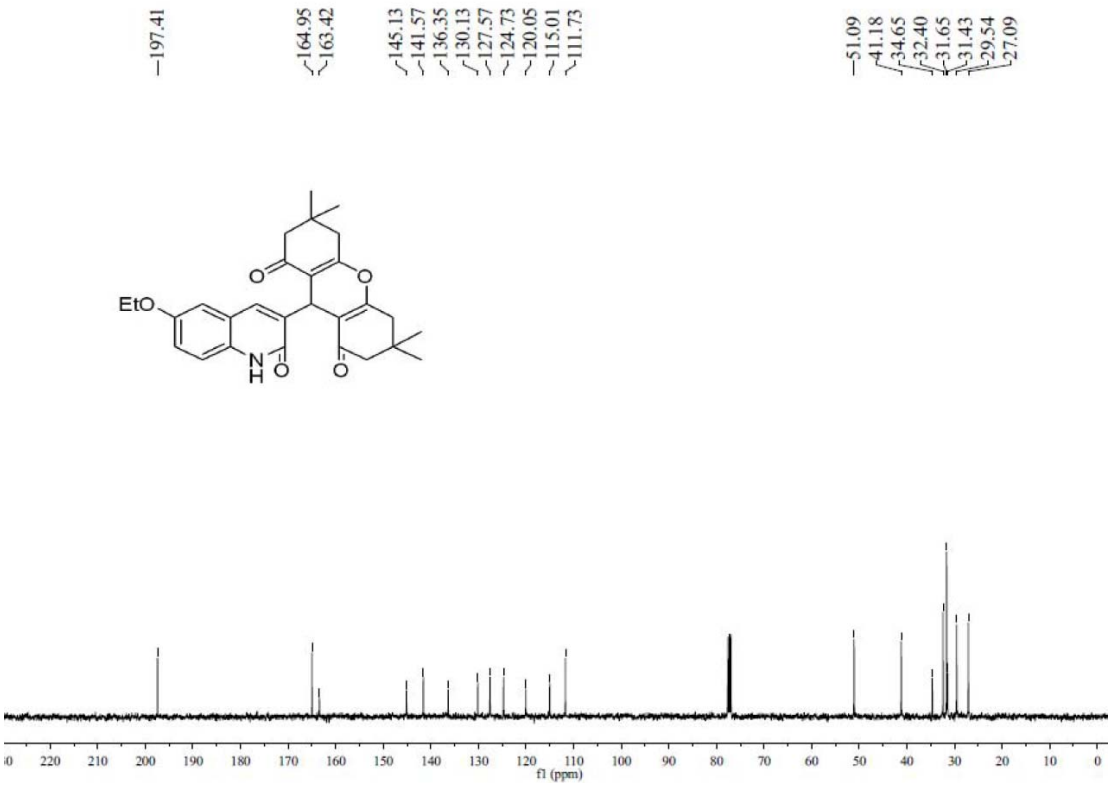

$^{13}$C NMR of compound **6**{*3,1*}



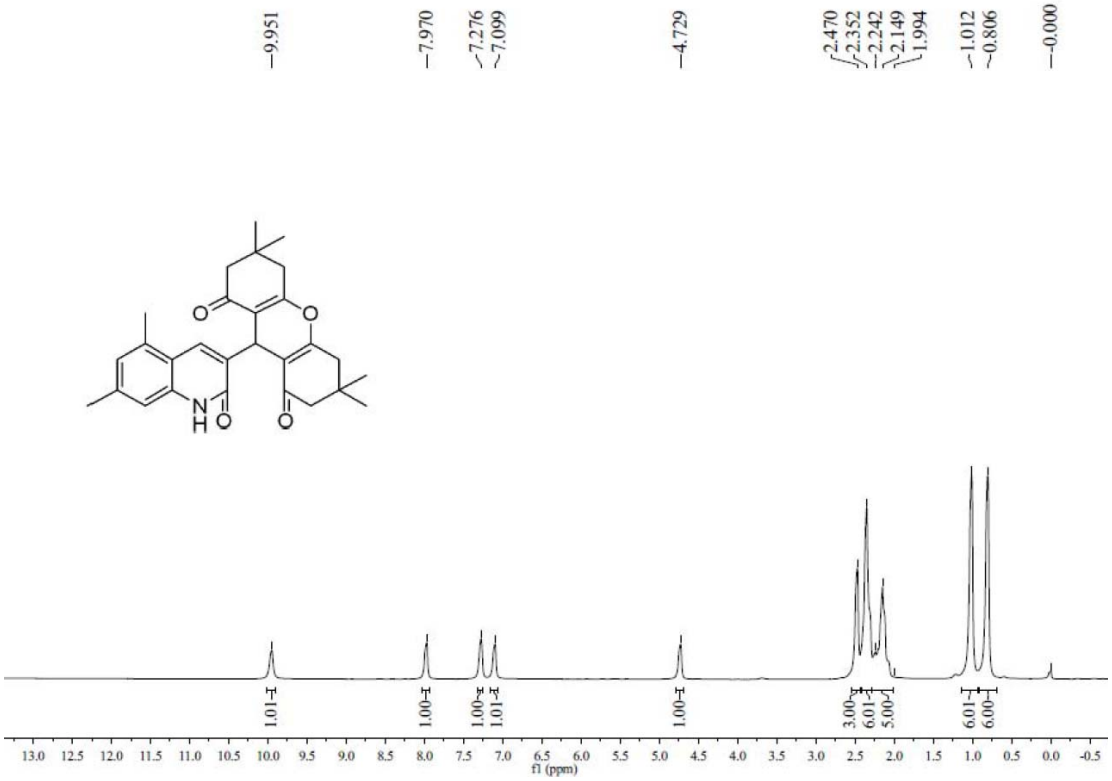
$^{1}$H NMR of compound **6**{*4,1*}



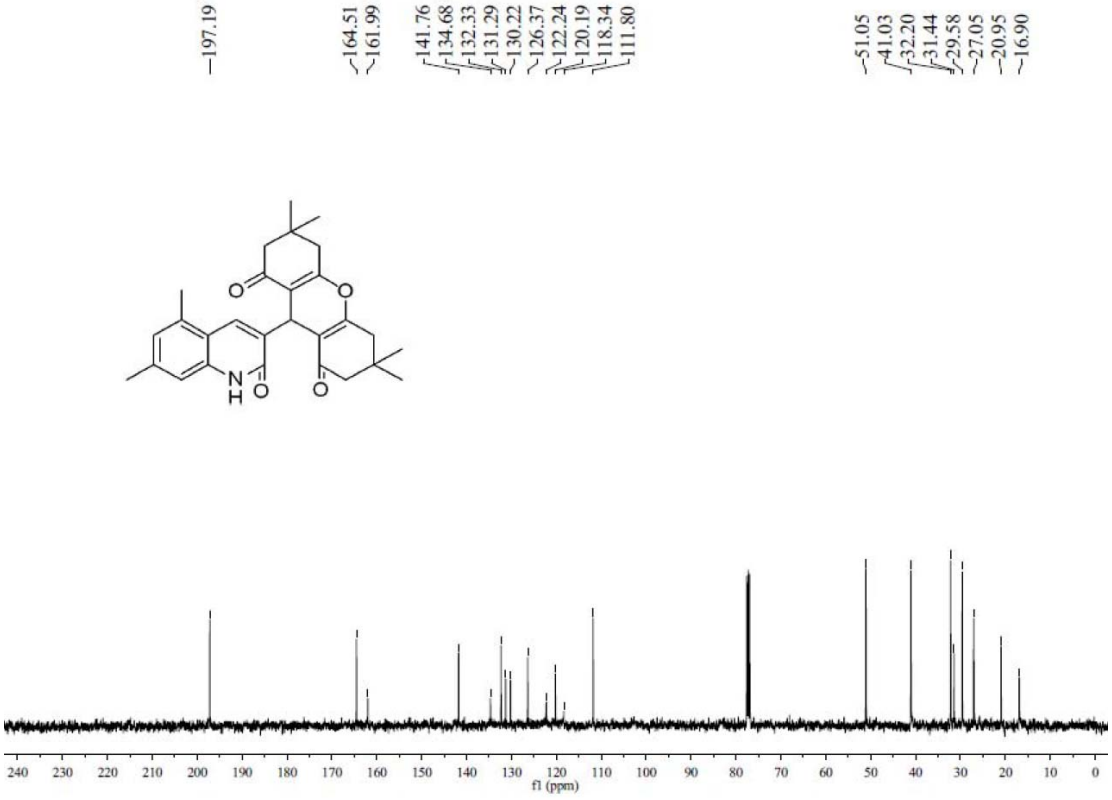

$^{13}$C NMR of compound **6**{*4,1*}



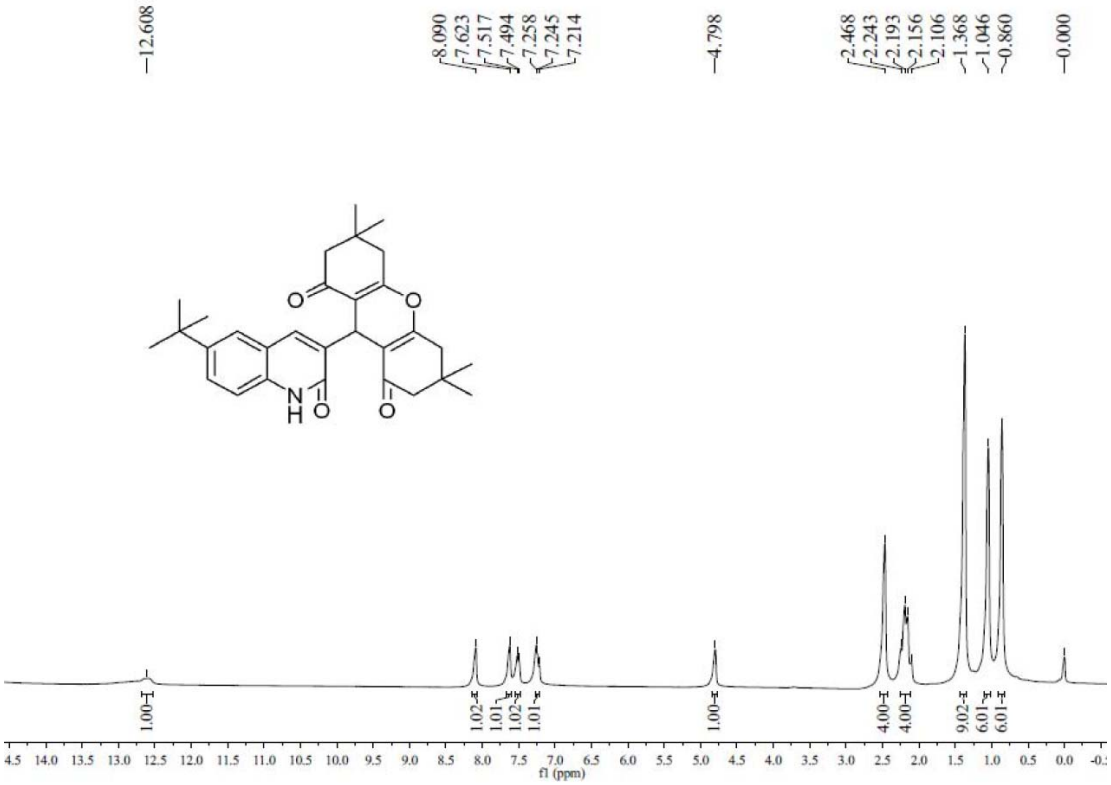
$^{1}$H NMR of compound **6**{*5,1*}



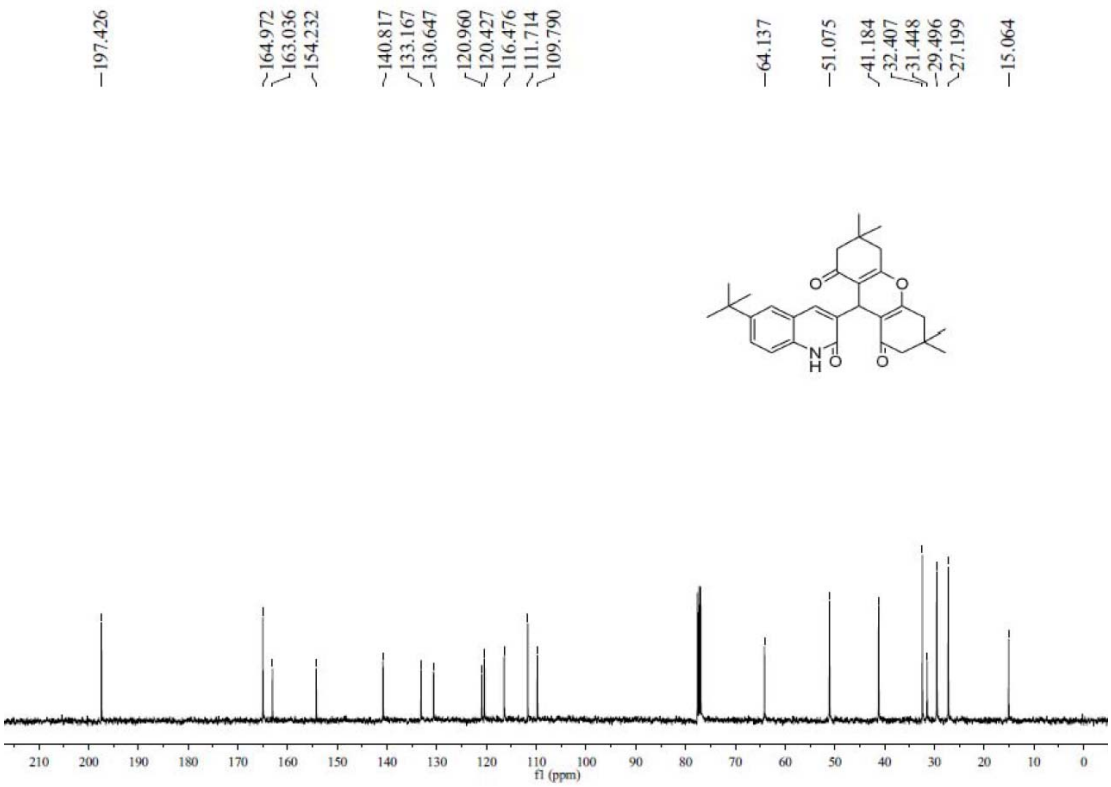

$^{13}$C NMR of compound **6**{*5,1*}



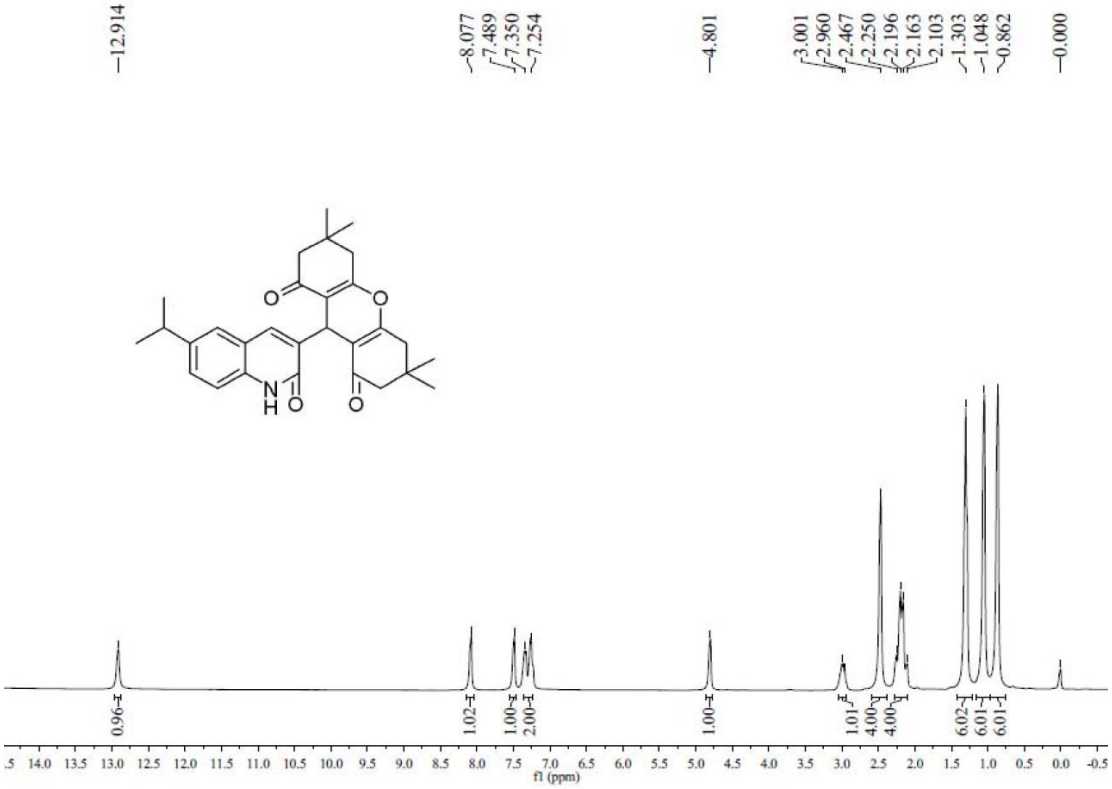
$^{1}$H NMR of compound **6**{*7,1*}



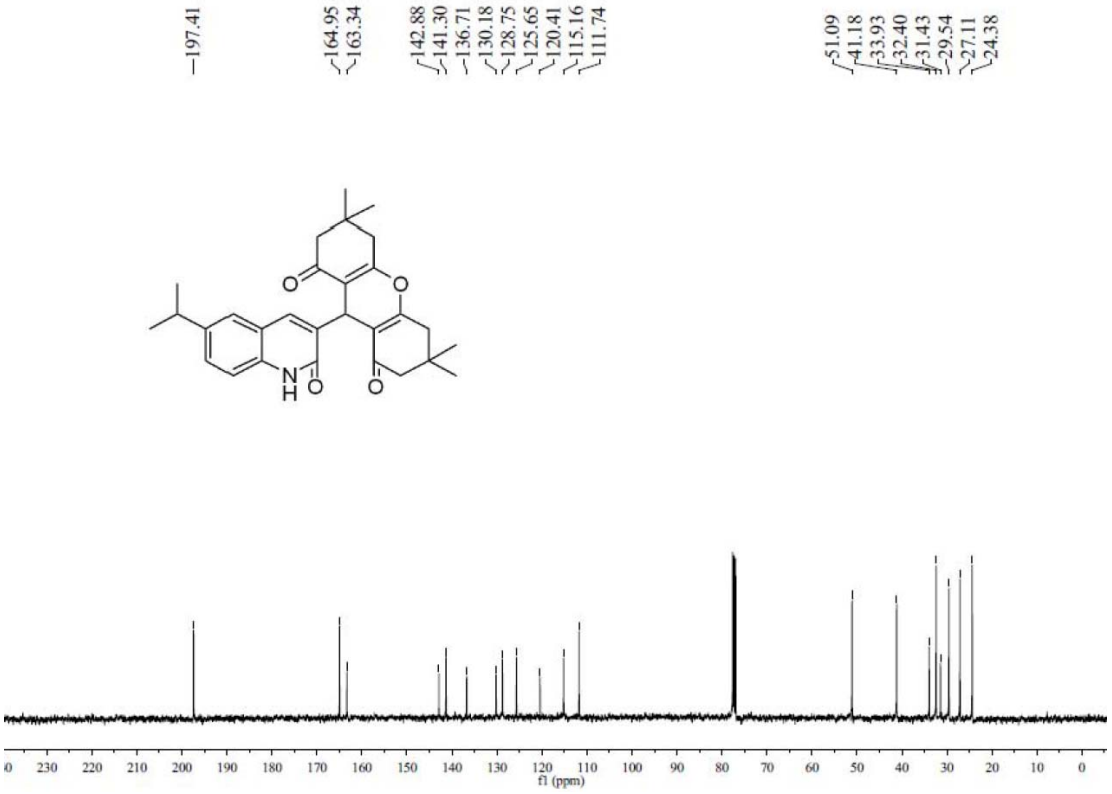

$^{13}$C NMR of compound **6**{*7,1*}



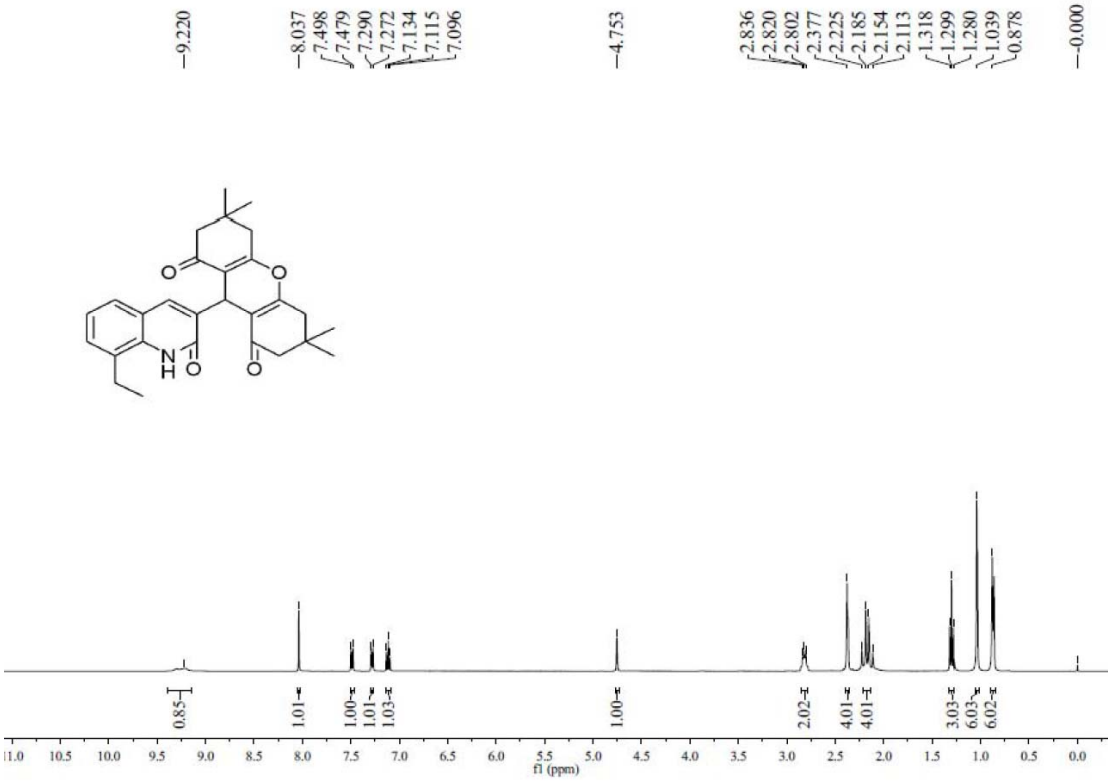
$^{1}$H NMR of compound **6**{*8,1*}



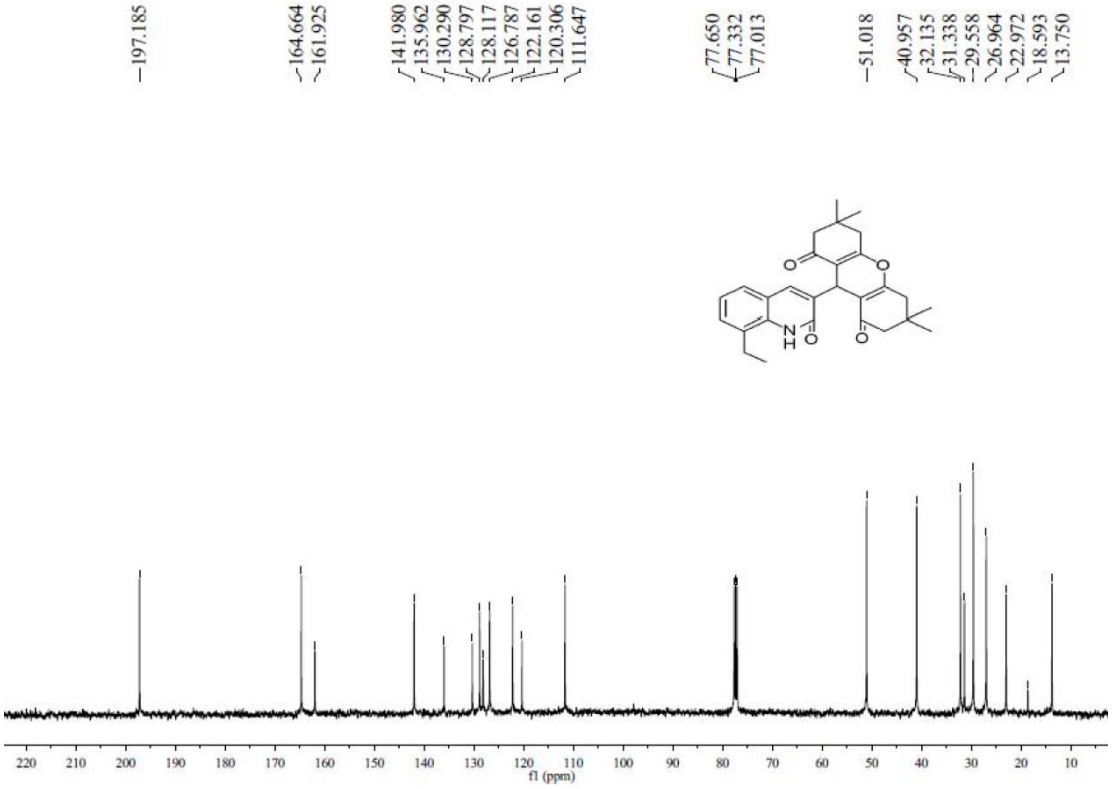

$^{13}$C NMR of compound **6**{*8,1*}



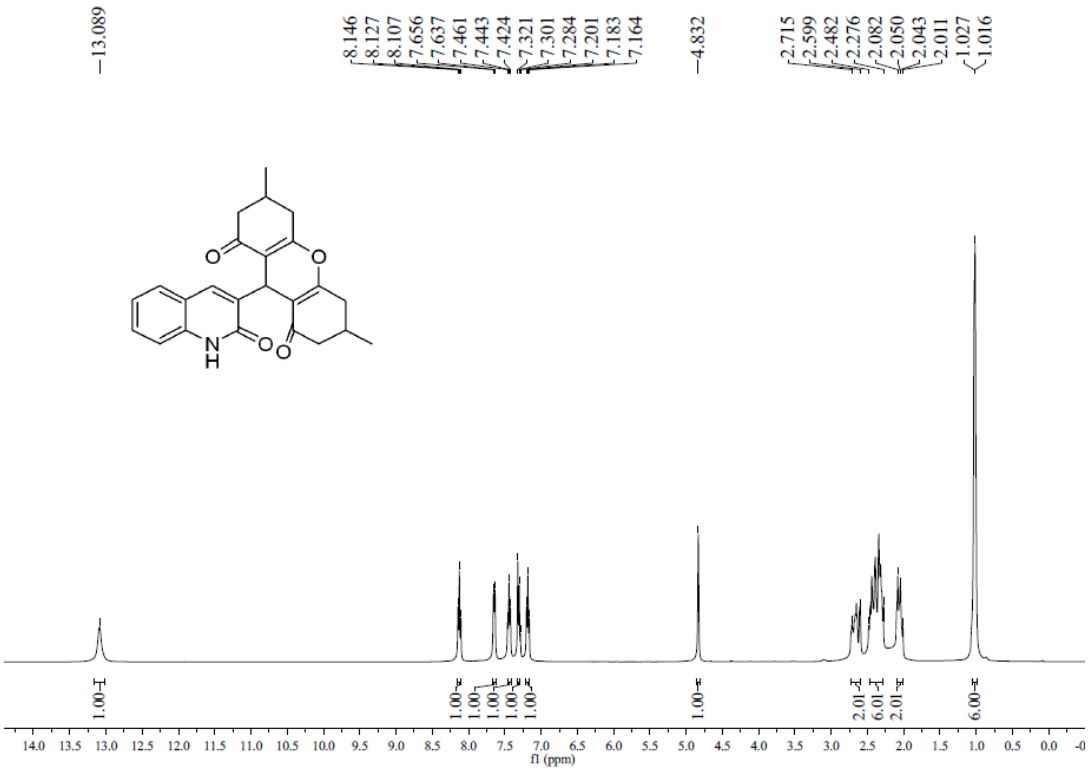
$^{1}$H NMR of compound **6**{*9,1*}



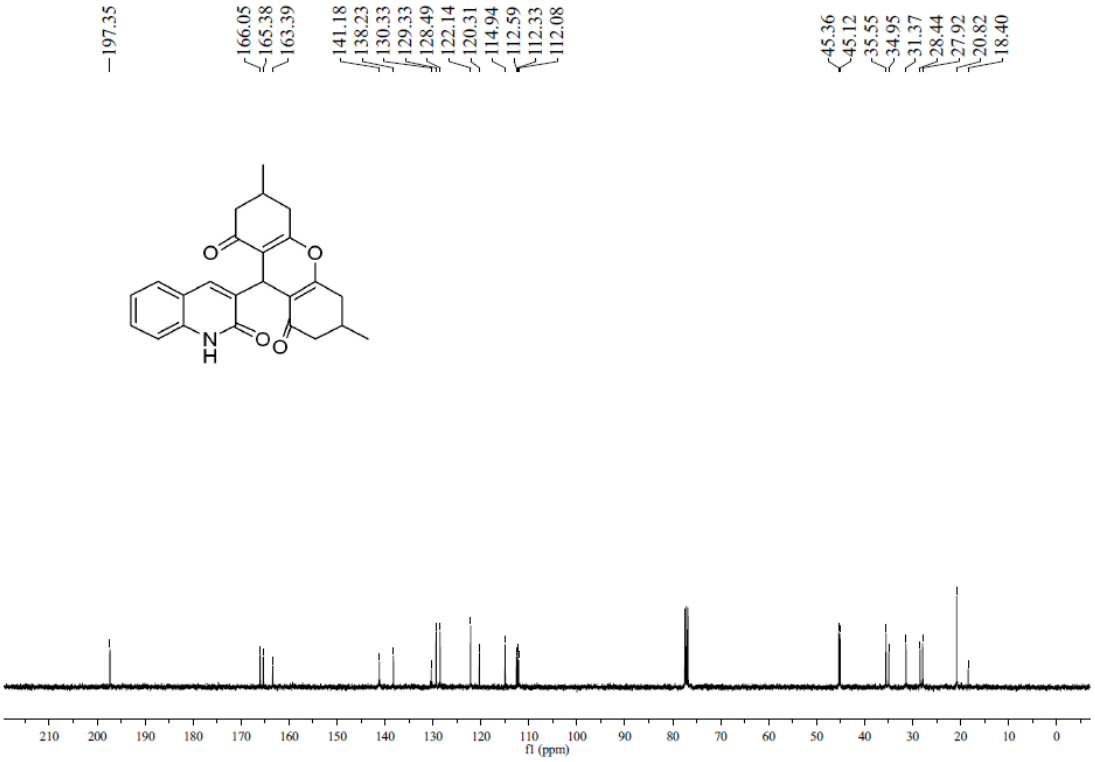

$^{13}$C NMR of compound **6**{*9,1*}



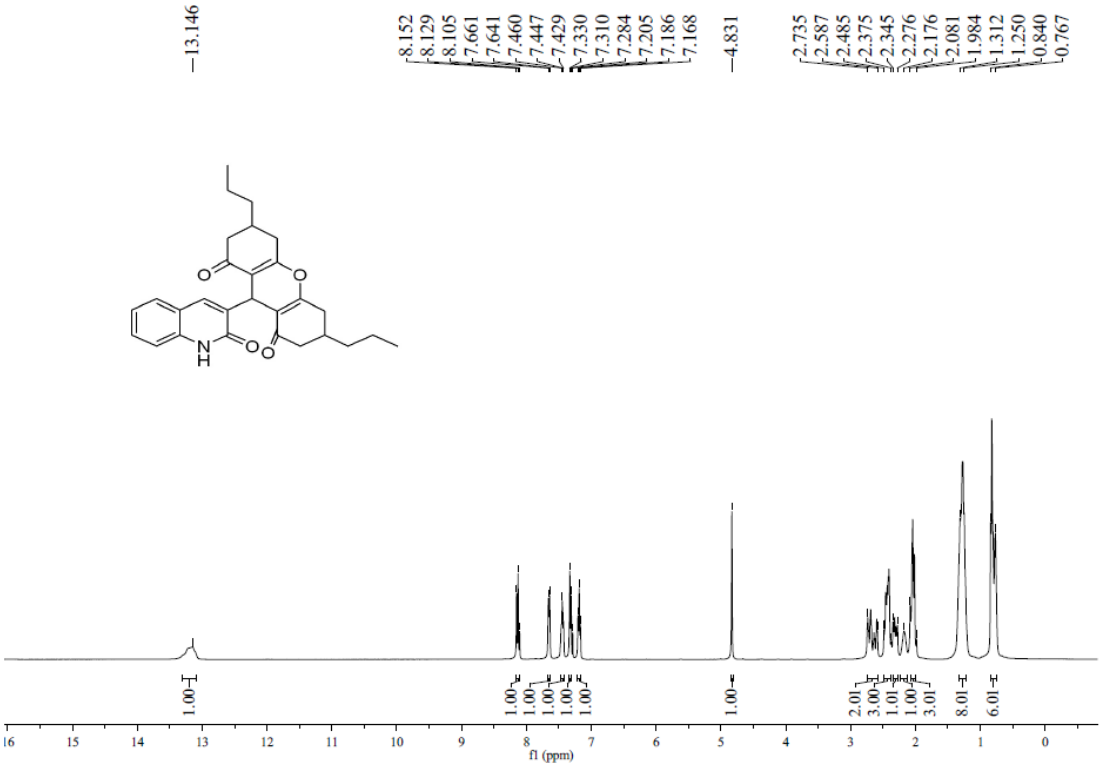
$^{1}$H NMR of compound **6**{*1,2*}



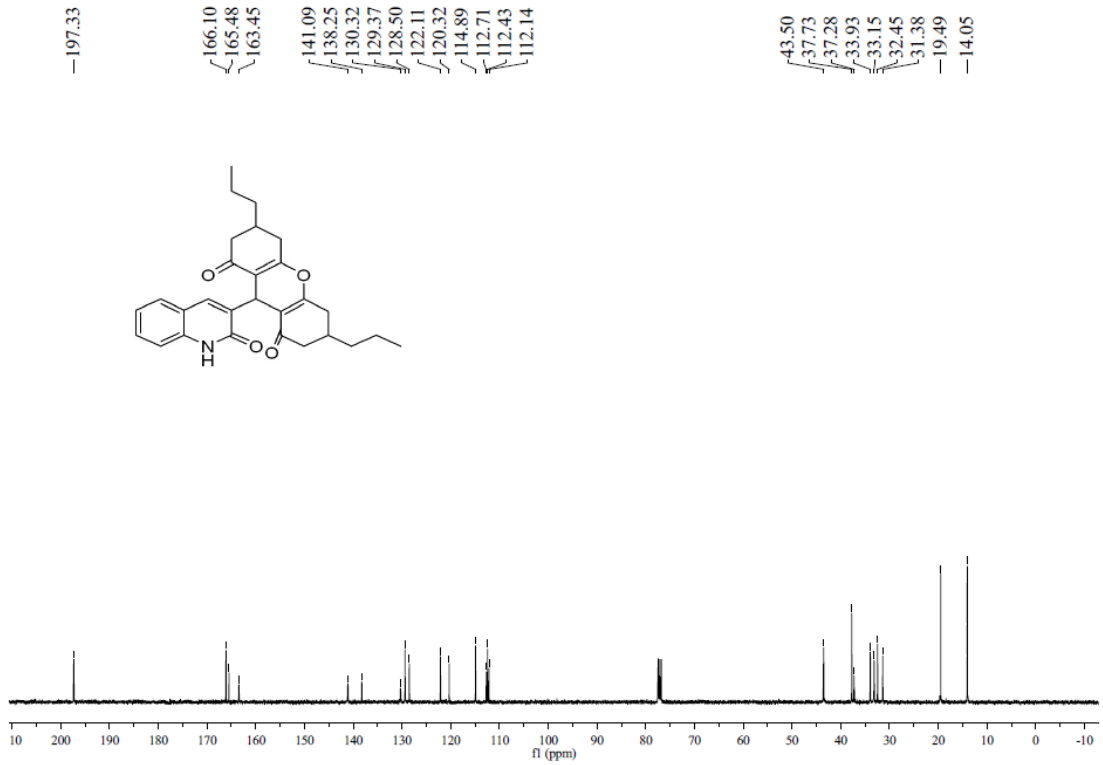

$^{13}$C NMR of compound **6**{*1,2*}



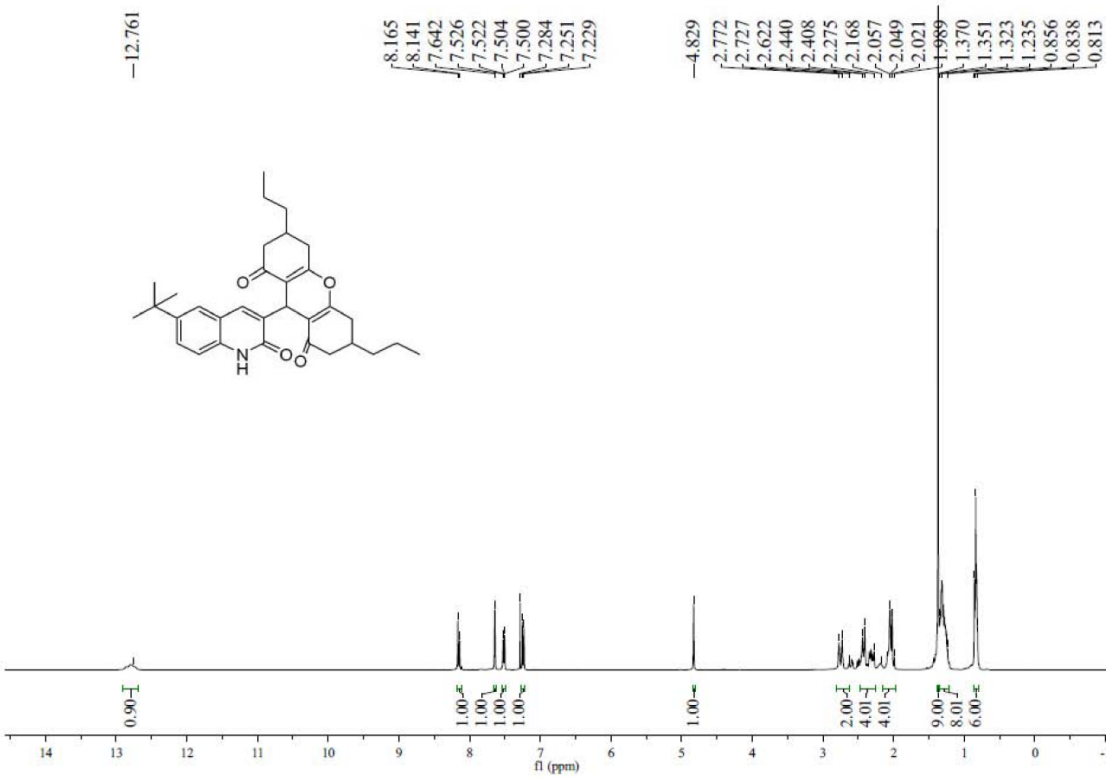
$^{1}$H NMR of compound **6**{*1,4*}




$^{13}$C NMR of compound **6**{*1,4*}



$^{1}$H NMR of compound **6**{*7,4*}




$^{13}$C NMR of compound **6**{*7,4*}