Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

One-Pot and Divergent Synthesis of Polysubstituted Quinolin-2(1*H*)-ones and Oxireno[2,3-c]quinolin-2(1a*H*,3*H*,7b*H*)-ones via Sequential Ugi/Knoevenagel Condensation/Hydrolysis and Ugi/Corey-Chaykovsky Epoxidation Reactions

Mao-Lin Yang, Hong-Ling Pan, Han-Han Kong and Ming-Wu Ding*

Key Laboratory of Pesticide & Chemical Biology of Ministry of Education, Hubei International Scientific and Technological Cooperation Base of Pesticide and Green Synthesis, Central China Normal University, Wuhan 430079, P. R. China

Content

1. General Information:

Melting points were determined using an X-4 model apparatus and were uncorrected. ^{1}H NMR were recorded in $CDCl_3$ or DMSO-d_6 on a Varian Mercury 600 spectrometer and resonances were relative to TMS. $^{13}C\{^{1}H\}$ NMR spectra were recorded in $CDCl_3$ or DMSO-d_6 on a Varian Mercury 600 (150 MHz) with complete proton decoupling spectrophotometers ($CDCl_3$: 77.0 ppm). HRMS was measured on an Agilent 6224 TOF LC/MS spectrometer. Sulfonium salt precursors **2** were prepared according to literarure report.[23]

2. Experimental Procedures and Characterization Data

General procedure for the preparation of quinolin-2(1*H*)-ones 7

A mixture of sulfonium salt **2a** (0.201 g, 1 mmol), aldehydes **3** (1 mmol), amines **4** (1 mmol) and isocyanides **5** (1 mmol) was stirred in methanol (10 mL) at room temperature for 24-48 h. DBU (0.30 g, 2 mmol) was then added to the reaction system and the reaction mixture was stirred at 60 ^{o}C for 4-8 h. The solvent was removed under reduced pressure and the residue was purified by flash chromatography on silica gel (ethyl acetate/petroleum ether = 1 : 4 ~ 1 : 8, V/V) to give quinolin-2(1*H*)-ones **7**.

N-(tert-Butyl)-2-(4-methoxyphenyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)acetamide (7a)

White solid (0.361 g, 85% yield); m.p. 165-166 °C; ^{1}H NMR (DMSO-d_6, 600 MHz): δ (ppm) 7.81 (d, J = 7.8 Hz, 1H, Ar-H), 7.41-6.85 (m, 9H, Ar-H, CH and NH), 3.70 (s, 3H, OCH_3), 2.77 (s, 3H, CH_3), 2.43 (s, 3H, SCH_3), 1.27 (s, 9H, $3CH_3$); ^{13}C $\{^{1}H\}$ NMR (DMSO-d_6, 150 MHz): δ (ppm) 166.7, 159.9, 158.3, 148.9, 137.9, 129.2, 129.0, 128.2, 127.2, 125.9, 122.0, 120.9, 117.5, 113.8, 60.6, 55.0, 50.8, 28.4, 18.0, 16.7. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{24}H_{28}N_2O_3S+Na]^+$: 447.1713, Found: 447.1715.

N-Butyl-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(4-nitrophenyl)acetamide (7b)

White solid (0.285 g, 65% yield); m.p. 134-135 °C; ^{1}H NMR (DMSO-d_6, 600 MHz): δ (ppm) 8.17 (d, J = 7.8 Hz, 2H, Ar-H), 7.98-7.06 (m, 8H, Ar-H, CH and NH), 3.13-2.96 (m, 2H, NCH_2), 2.80 (s, 3H, CH_3), 2.42 (s, 3H, SCH_3), 1.30-1.08 (m, 4H, $2CH_2$), 0.77 (t, J = 7.2 Hz, 3H, CH_3); ^{13}C $\{^{1}H\}$ NMR (DMSO-d_6, 150 MHz): δ (ppm) 166.3, 159.6, 149.1, 146.6, 143.0, 137.6, 130.0, 129.8, 127.6, 126.5, 123.0, 122.6, 121.2, 115.8, 59.4, 38.9, 31.0, 19.5, 18.0, 16.6, 13.7. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{23}H_{25}N_3O_4S+Na]^+$: 462.1458, Found: 462.1463.

N-Butyl-2-(4-methoxyphenyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)acetamide (7c)

White soild (0.323 g, 76% yield); m.p. 160-161 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.76 (d, J = 8.4

Hz, 1H, Ar-H), 7.37-7.20 (m, 5H, Ar-H), 6.85 (d, *J* = 9.0 Hz, 2H, Ar-H), 6.55 (br, 1H, NH), 6.13 (s, 1H, CH), 3.78 (s, 3H, OCH_3), 3.29-3.22 (m, 2H, NCH_2), 2.83 (s, 3H, CH_3), 2.45 (s, 3H, SCH_3), 1.45-1.23 (m, 4H, $2CH_2$), 0.86 (t, *J* = 7.2 Hz, 3H, CH_3); ^{13}C {^1H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 168.3, 161.0, 159.1, 149.8, 138.1, 130.0, 129.3, 128.3, 126.4, 126.0, 122.5, 121.6, 116.0, 114.1, 62.3, 55.2, 39.6, 31.2, 19.9, 18.1, 17.0, 13.7. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{24}H_{28}N_2O_3SNa]^+$: 447.1713, Found: 447.1716.

2-(6-Bromo-4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-N-(tert-butyl)-2-(4-methoxyphenyl)acetamide (7d)

Light yellow soild (0.402 g, 80% yield); m.p. 179-180 °C; ^1H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.85 (s, 1H, Ar-H), 7.41-7.28 (m, 4H, Ar-H), 6.86 (d, *J* = 7.2 Hz, 2H, Ar-H), 6.46 (br, 1H, NH), 5.88 (s, 1H, CH), 3.78 (s, 3H, OCH_3), 2.78 (s, 3H, CH_3), 2.47 (s, 3H, SCH_3), 1.31 (s, 9H, $3CH_3$); ^{13}C {^1H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 167.2, 160.6, 159.2, 148.2, 137.1, 132.4, 129.8, 129.3, 128.3, 126.2, 123.2, 118.0, 115.5, 114.2, 62.8, 55.2, 51.7, 28.4, 18.1, 17.0. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{24}H_{27}BrN_2O_3S+Na]^+$: 525.0818, Found: 525.0816.

2-(6-Bromo-4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-N-butyl-2-(4-methoxyphenyl)acetamide (7e)

White solid (0.417 g, 83% yield); m.p. 179-180 °C; ^1H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.85 (s, 1H, Ar-H), 7.41-7.28 (m, 4H, Ar-H), 6.86 (d, *J* = 6.6 Hz, 2H, Ar-H), 6.56 (br, 1H, NH), 6.11 (s, 1H, CH), 3.79 (s, 3H, OCH_3), 3.30-3.23 (m, 2H, NCH_2), 2.79 (s, 3H, CH_3), 2.47 (s, 3H, SCH_3), 1.46-1.24 (m, 4H, $2CH_2$), 0.87 (t, *J* = 8.4 Hz, 3H, CH_3); ^{13}C {^1H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 168.0, 160.7, 159.2, 148.4, 136.9, 132.4, 129.7, 129.2, 128.2, 126.0, 123.3, 118.1, 115.6, 114.2, 61.8, 55.2, 39.6, 31.2, 19.9, 18.1, 17.0, 13.7. HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{24}H_{28}BrN_2O_3S]^+$: 525.0818, Found: 525.0816.

N-Cyclohexyl-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(4-(trifluoromethyl)phenyl)acetamide (7f)

White solid (0.328 g, 73% yield); m.p. 195-196 °C; ^1H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.86 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.42-7.28 (m, 5H, Ar-H), 6.86 (d, *J* = 8.4 Hz, 2H, Ar-H), 6.55 (s, 1H, NH), 5.97 (s, 1H, CH), 3.87-3.73 (m, 4H, OCH_3 and NCH), 2.80 (s, 3H, CH_3), 2.48 (s, 3H, SCH_3), 2.00-1.02 (m, 10H, $5CH_2$); ^{13}C {^1H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 167.1, 160.7, 159.2, 148.4, 137.0, 132.5, 129.8, 129.2, 128.3, 126.1, 123.3, 118.1, 115.6, 114.2, 62.0, 55.2, 48.6, 32.6, 32.5, 25.4, 24.6, 18.2, 17.1; HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{26}H_{28}F_3N_2O_2S+Na]^+$: 551.0974, Found:551.0979.

N-(tert-Butyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(4-(trifluoromethyl)phenyl)aceta

mide (7g)

White solid (0.327 g, 71% yield); m.p. 167-168 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.82 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.56 (d, *J* = 7.8 Hz, 2H, Ar-H), 7.41-7.25 (m, 5H, Ar-H), 6.88 (br, 1H, NH), 6.12 (s, 1H, CH), 2.88 (s, 3H, CH_3), 2.45 (s, 3H, SCH_3), 1.29 (s, 9H, CH_3); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 166.8, 161.1, 150.6, 138.4, 137.6, 130.3, 129.8, 129.6, 128.1, 128.0, 126.2, 125.3, 125.2, 124.8, 123.0, 121.6, 116.6, 61.7, 51.8, 28.4, 18.3, 17.2. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{24}H_{25}F_3N_2O_2S+Na]^+$: 485.1481, Found: 485.1486.

N-Butyl-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(4-(trifluoromethyl)phenyl)acetamide (7h)

Light yellow solid (0.324 g, 70% yield); m.p. 122-123 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.74 (d, *J* = 8.4 Hz, 1H, Ar-H), 7.48 (d, *J* = 7.8 Hz, 2H, Ar-H), 7.35-7.17 (m, 5H, Ar-H), 6.96 (br, 1H, NH), 6.36 (s, 1H, CH), 3.19-3.16 (m, 2H, NCH_2), 2.79 (s, 3H, CH_3), 2.36 (s, 3H, SCH_3), 1.36-1.10 (m, 4H, $2CH_2$), 0.75 (t, *J* = 7.8 Hz, 3H, CH_3); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 167.5, 161.2, 150.7, 138.3, 137.4, 130.3, 129.8, 129.6, 128.0, 127.9, 126.2, 125.4, 125.3, 124.7, 123.1, 123.0, 121.7, 116.8, 60.3, 39.6, 31.2, 19.9, 18.3, 17.2, 13.6. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{24}H_{25}F_3N_2O_2S+Na]^+$: 485.1481, Found: 485.1482.

N-Cyclohexyl-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(4-(trifluoromethyl)phenyl)acetamide (7i)

White solid (0.331 g, 68% yield); m.p. 182-183 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.82 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.55 (d, *J* = 7.8 Hz, 2H, Ar-H), 7.41-7.25 (m, 5H, Ar-H), 6.98 (br, 1H, NH), 6.23 (s, 1H, CH), 3.81-3.78 (m, 1H, NCH), 2.88 (s, 1H, CH_3), 2.45 (s, 3H, SCH_3), 1.94-0.87 (m, 10H, $5CH_2$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 166.6, 161.1, 150.7, 138.3, 137.4, 130.3, 129.8, 129.6, 128.0, 127.9, 126.2, 125.3, 123.0, 121.6, 116.8, 116.7, 103.8, 60.8, 48.7, 32.6, 32.4, 25.3, 24.6, 24.5, 18.3, 17.2. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{26}H_{27}F_3N_2O_2S+Na]^+$: 511.1638, Found: 511.1637.

N-(tert-Butyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(thiophen-2-yl)acetamide (7j)

White solid (0.265 g, 66% yield); m.p. 108-109 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.77 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.41-6.92 (m, 7H, Ar-H and NH), 5.84 (s, 1H, CH), 2.82 (s, 3H, CH_3), 2.48 (s, 3H, SCH_3), 1.29 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 166.7, 160.5, 150.1, 137.2, 136.4, 130.0, 127.9, 127.2, 126.3, 126.2, 126.1, 122.6, 121.6, 115.6, 58.0, 51.7, 28.3, 18.1, 17.1; HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{21}H_{24}N_2O_2S_2+Na]^+$: 423.1171, Found: 423.1177.

2-(6-Bromo-4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-N-(tert-butyl)-2-(thiophen-2-yl)acetamide (7k)

White solid (0.311 g, 65% yield); m.p. 178-179 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.86 (s, 1H, Ar-H), 7.46-9.94 (m, 6H, Ar-H and NH), 5.88 (s, 1H, CH), 2.78 (s, 3H, CH_3), 2.50 (s, 3H, SCH_3), 1.30 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 166.4, 160.2, 148.6, 136.2, 136.1, 132.5, 129.5, 128.5, 128.2, 127.4, 126.3, 123.2, 117.4, 115.7, 58.0, 51.9, 28.4, 18.1, 17.1. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{21}H_{23}BrN_2O_2S_2+Na]^+$: 501.0277, Found: 501.0278.

N-(tert-Butyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(p-tolyl)acetamide (7l)

White solid (0.335 g, 82% yield); m.p. 129-130 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.76 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.35-7.12 (m, 7H, Ar-H), 6.49 (br, 1H, NH), 5.92 (s, 1H, CH), 2.82 (s, 3H, CH_3), 2.45 (s, 3H, SCH_3), 2.31 (s, 3H, CH_3), 1.30 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 167.5, 161.0, 149.6, 138.4, 137.7, 131.6, 129.9, 129.4, 128.4, 127.9, 125.9, 122.4, 121.6, 116.1, 63.2, 51.6, 28.4, 21.0, 18.1, 17.0. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{24}H_{28}N_2O_2S+Na]^+$: 431.1764, Found: 431.1771.

N-Butyl-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(p-tolyl)acetamide (7m)

Light yellow solid (0.297 g, 73% yield); m.p. 137-138 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.68 (d, *J* = 8.4 Hz, 1H, Ar-H), 7.31-7.04 (m, 7H, Ar-H), 6.52 (br, 1H, NH), 6.10 (s, 1H, CH), 3.20-3.15 (m, 2H, NCH_2), 2.75 (s, 3H, CH_3), 2.37 (s, 3H, SCH_3), 2.23 (s, 3H, CH_3), 1.37-1.15 (m, 4H, $2CH_2$), 0.77 (t, *J* = 7.2 Hz, 3H); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 168.2, 161.0, 149.8, 138.1, 137.7, 131.4, 130.0, 129.4, 128.3, 127.8, 125.9, 122.5, 121.6, 116.1, 62.4, 39.6, 31.2, 21.0, 19.9, 18.1, 17.0, 13.6. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{24}H_{28}N_2O_2S+Na]^+$: 431.1764, Found: 431.1768.

N-(tert-Butyl)-2-(6-chloro-3-(methylthio)-2-oxo-4-phenylquinolin-1(2*H*)-yl)-2-(4-fluorophenyl)acetamide (7n)

Light yellow solid (0.341 g, 67% yield); m.p. 191-192 °C;^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.55-7.08 (m, 12H, Ar-H), 6.60 (br, 1H, NH), 5.93 (s, 1H, CH), 2.33 (s, 3H, SCH_3), 1.34 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 166.7, 162.3 (d, $^{1}J_{F\text{-}C}$ = 247.4 Hz), 160.9, 151.3, 136.7, 136.1, 130.2, 130.0, 129.9, 129.8, 129.7, 129.0, 128.7, 128.6, 128.4, 128.1, 127.4, 123.1, 117.5, 116.0, 115.9, 62.6, 52.0, 28.4, 17.0. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{28}H_{26}ClFN_2O_2S+Na]^+$: 531.1280, Found: 531.1282.

2-(4-Bromophenyl)-N-(tert-butyl)-2-(6-chloro-3-(methylthio)-2-oxo-4-phenylquinolin-1(2*H*)-yl)acetamide (7o)

White solid (0.375 g, 66% yield); m.p. 142-143 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.57-7.25 (m, 11H, Ar-H), 7.08 (s, 1H, Ar-H), 6.65 (br, 1H, NH), 6.02 (s, 1H, CH), 2.33 (s, 3H, SCH_3), 1.34 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 166.4, 160.9, 151.4, 136.5, 136.0, 133.3, 132.0, 129.8, 129.6, 129.0, 128.7, 128.6, 128.4, 128.2, 127.4, 123.0, 122..3, 117.7, 62.4, 52.0, 28.4, 17.1. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{28}H_{26}BrClN_2O_2S+Na]^+$: 591.0479, Found: 591.0470.

N-(tert-Butyl)-2-(4-isopropyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(4-methoxyphenyl)acetamide (7p)

White solid (0.317 g, 70% yield); m.p. 130-131 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 8.04 (d, *J* = 7.2 Hz, 1H, Ar-H), 7.39-6.87 (m, 7H, Ar-H), 6.27 (br, 1H, NH), 5.88 (s, 1H, CH), 4.57-4.42 (m, 1H, CH), 3.79 (s, 3H, OCH_3), 2.43 (s, 3H, SCH_3), 1.56 (d, *J* = 7.2 Hz, 6H, $2CH_3$), 1.31 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 167.7, 161.2, 159.2, 158.9, 139.1, 129.6, 128.3, 126.7, 121.7, 120.2, 116.0, 114.5, 114.2, 113.2, 64.1, 55.2, 51.6, 32.3, 28.4, 21.6, 17.3; HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{26}H_{32}N_2O_3S+Na]^+$: 475.2026, Found: 475.2023.

N-(tert-Butyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-phenylacetamide (7q)

White solid (0.268 g, 68% yield); m.p. 128-129 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.76 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.36-7.19 (m, 8H, Ar-H), 6.60 (br, 1H, NH), 6.00 (s, 1H, CH), 2.83 (s, 3H, CH_3), 2.45 (s, 3H, SCH_3), 1.30 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 167.2, 160.9, 149.7, 138.1, 134.5, 129.9, 128.6, 128.2, 127.8, 127.7, 125.9, 122.5, 121.5, 116.1, 63.2, 51.5, 28.3, 18.1, 16.9. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{23}H_{26}N_2O_2S+Na]^+$: 417.1607, Found: 417.1610.

N-(tert-Butyl)-2-(6-chloro-3-(methylthio)-2-oxo-4-phenylquinolin-1(2*H*)-yl)-2-(4-methoxyphenyl)acetamide (7r)

Light yellow solid (0.395 g, 76% yield); m.p. 99-100 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.57-7.26 (m, 9H, Ar-H), 7.05 (s, 1H, Ar-H), 6.91 (d, *J* = 8.4 Hz, 2H, Ar-H), 6.44 (br, 1H, NH), 5.85 (s, 1H, CH), 3.81 (s, 3H, OCH_3), 2.34 (s, 3H, SCH_3), 1.34 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 167.2, 160.9, 159.4, 151.0, 137.1, 136.3, 130.3, 129.6, 129.5, 129.1, 128.7, 128.6, 128.5, 128.4, 127.8, 127.3, 126.3, 123.1, 114.4, 63.5, 55.3, 51.8, 28.4, 17.0; HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{29}H_{29}ClN_2O_3S+Na]^+$: 543.1480, Found: 543.1476.

N-(tert-Butyl)-2-(4-isopropyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)-2-(4-nitrophenyl)acetamide (7s)

Light yellow solid (0.312 g, 67% yield); m.p. 153-154 °C; ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 8.16 (d, *J* = 7.8 Hz, 2H, Ar-H), 8.11 (d, *J* = 7.8 Hz, 1H, Ar-H),, 7.46-7.24 (m, 5H, Ar-H), 6.85 (br, 1H, NH), 6.32 (s,

1H, CH), 4.56-4.46 (m, 1H, CH), 2.42 (s, 3H, SCH_3), 1.61 (d, *J* = 7.2 Hz, 6H, $2CH_3$), 1.30 (s, 9H, $3CH_3$); ^{13}C {^1H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 166.4, 161.3, 160.2, 147.1, 141.9, 137.9, 130.1, 128.4, 127.9, 126.8, 123.5, 122.5, 120.3, 116.9, 61.8, 51.9, 32.3, 28.4, 21.5, 17.6; HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{25}H_{29}N_3O_4S+Na]^+$: 490.1771; Found: 490.1780.

N-(tert-Butyl)-2-(4-chlorophenyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)acetamide (7t)

White solid (0.322 g, 75% yield); m.p. 133-134 °C; ^1H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.79 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.37-7.23 (m, 7H, Ar-H), 6.67 (br, 1H, NH), 6.01 (s, 1H, CH), 2.85 (s, 3H, CH_3), 2.45 (s, 3H, SCH_3), 1.29 (s, 9H, $3CH_3$); ^{13}C {^1H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 167.0, 161.0, 150.2, 137.8, 133.6, 133.0, 130.1, 129.2, 128.7, 128.2, 126.1, 122.8, 121.6, 116.3, 61.9, 51.7, 28.4, 18.2, 17.1. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{23}H_{25}ClN_2O_2S+Na]^+$: 451.1217; Found: 451.1223.

N-(tert-Butyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)butanamide (7u)

White solid (0.243 g, 70% yield); m.p. 116-117 °C; ^1H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.80 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.54-7.47 (m, 2H, Ar-H), 7.27 (t, *J* = 7.2 Hz, 1H, Ar-H), 6.10 (s, 1H, NH), 5.69 (s, 1H, CH), 2.84 (s, 3H, CH_3), 2.47 (s, 3H, SCH_3), 2.40-2.05 (m, 2H, CH_2), 1.24 (s, 9H, $3CH_3$), 0.74 (t, *J* = 7.2 Hz, 3H, CH_3); ^{13}C {^1H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 169.6, 161.6, 149.8, 136.5, 130.0, 127.8, 126.1, 122.7, 121.6, 116.2, 58.3, 51.3, 28.4, 21.0, 18.2, 17.2, 10.7. HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{19}H_{26}N_2O_2S+Na]^+$: 369.1607; Found: 369.1616.

N-(tert-butyl)-2-(4-methyl-3-(methylthio)-2-oxoquinolin-1(2*H*)-yl)pentanamide (7v)

White solid (0.258 g, 72% yield); m.p. 96-97 °C; ^1H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.80 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.53-7.26 (m, 3H, Ar-H), 6.17 (s, 1H, NH), 5.71 (s, 1H, CH), 2.83 (s, 3H, CH_3), 2.47 (s, 3H, SCH_3), 2.33-1.91 (m, 2H, CH_2), 1.24 (s, 9H, $3CH_3$), 1.15-1.06 (m, 2H, CH_2), 0.84 (t, *J* = 7.8 Hz, 3H, CH_3); ^{13}C {^1H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 169.7, 161.5, 149.8, 136.4, 130.0, 127.8, 126.1, 122.7, 121.6, 116.3, 56.8, 51.3, 29.8, 28.4, 19.7, 18.1, 17.2, 13.6; HRMS (ESI-TOF) *m/z* $[M+Na]^+$ Calcd for $[C_{20}H_{28}N_2O_2S+Na]^+$: 383.1764; Found: 383.1772.

General procedure for the preparation of oxireno[2,3-c]quinolin-2(1a*H*,3*H*,7b*H*)-ones 10

A mixture of sulfonium salt **2b** (0.215 g, 1 mmol), aldehydes **3** (1 mmol), amines **4** (1 mmol) and isocyanides **5** (1 mmol) was stirred in methanol (10 mL) at room temperature for 24-48 h. DBU (0.30 g, 2 mmol) was then added to the reaction system and the reaction mixture was stirred at room temperature for 4-8 h. The solvent was removed under reduced pressure and the residue was purified by flash

chromatography on silica gel (ethyl acetate/petroleum ether = 1 : 4 ~ 1 : 8, V/V) to give oxireno[2,3-c]quinolin-2(1a*H*,3*H*,7b*H*)-ones **10**.

N-tert-Butyl-2-(4-chlorophenyl)-2-(1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)acetamide (10a)

Light yellow oil (0.239 g, 58% yield); **diastereomer 1**: ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.72 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.29-7.25 (m, 5H, Ar-H), 7.15 (t, *J* = 7.2 Hz, 1H, Ar-H), 6.96 (d, *J* = 7.8 Hz, 1H, Ar-H), 6.23 (s, 1H, CH), 6.19 (s, 1H, NH), 1.95 (s, 3H, CH_3), 1.77 (s, 3H, CH_3), 1.20 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.2, 167.1, 136.9, 133.3, 132.2, 129.9, 128.7, 128.3, 127.9, 123.7, 123.4, 117.1, 64.0, 62.0, 61.9, 51.6, 29.7, 28.3, 15.9, 13.5; **diastereomer 2**: ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.67 (d, *J* = 7.8 Hz, 1H, Ar-H), 7.30-7.26 (m, 4H, Ar-H), 7.18 (t, *J* = 7.2 Hz, 1H, Ar-H), 7.09 (t, *J* = 7.2 Hz, 1H, Ar-H), 6.99 (d, *J* = 7.8 Hz, 1H, Ar-H), 6.24 (s, 1H, NH), 5.98 (s, 1H, CH), 1.96 (s, 3H, CH_3), 1.82 (s, 3H, CH_3), 1.31 (s, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.8, 166.6, 136.6, 133.4, 132.5, 129.6, 129.4, 128.5, 127.7, 123.8, 123.0, 117.7, 64.0, 60.6, 60.4, 51.7, 29.7, 28.5, 15.9, 13.8; HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{23}H_{26}ClN_2O_3]^+$: 413.1626; Found: 413.1626.

N-tert-Butyl-2-(4-bromophenyl)-2-(1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)acetamide (10b)

Light yellow oil (0.279 g, 61% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.72 (d, *J* = 7.2 Hz, 0.35H, Ar-H), 7.67 (d, *J* = 7.2 Hz, 0.65H, Ar-H), 7.44-7.40 (m, 2H, Ar-H), 7.23-6.95 (m, 5H, Ar-H), 6.21 and 6.19 (ss, 1.33H, NH and 0.33CH), 5.99 (s, 0.67H, 0.67CH), 1.95 (s, 3H, CH_3), 1.82 (s, 2H, $2/3\times CH_3$), 1.77 (s, 1H, $1/3\times CH_3$), 1.30 (s, 6H, $2/3\times 3CH_3$), 1.20 (s, 3H, $1/3\times 3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.9, 170.3 (minor), 167.1 (minor), 166.5, 136.9, 136.6 (minor), 133.0, 132.8 (minor), 129.9, 129.7, 129.6 (minor), 129.1, 127.9 (minor), 127.7, 123.8 (minor), 123.4, 123.0, 121.6, 117.7 (minor), 117.1, 64.0, 63.8 (minor), 61.9, 60.4, 51.7, 51.6 (minor), 28.6, 28.3 (minor), 15.9, 13.8, 13.5 (minor); HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{23}H_{26}BrN_2O_3]^+$: 457.1121; Found: 457.1120.

N-tert-Butyl-2-(1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)-2-(4-methoxyphenyl)acetamide (10c)

Light yellow oil (0.319 g, 78% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.71-7.64 (m, 1H, Ar-H), 7.29-7.03 (m, 5H, Ar-H), 6.85 (t, *J* = 7.8 Hz, 2H, Ar-H), 6.20 and 6.13 (ss, 1.37H, NH and 0.37CH), 5.89 (s, 0.63H, 0.63CH), 3.78 and 3.77 (ss, 3H, OCH_3), 1.93 (s, 3H, CH_3), 1.81 and 1.76 (s, 3H, CH_3), 1.31 and 1.23 (ss, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.5, 170.0 (minor), 167.9 (minor),

167.1, 158.9, 137.4 (minor), 137.1, 129.8 (minor), 129.3, 128.6, 127.7 (minor), 127.6, 126.2, 125.8 (minor), 123.8, 123.1 (minor), 122.6, 117.5 (minor), 117.0, 113.9, 113.8 (minor), 63.7, 62.7, 61.4, 55.2, 51.6, 51.4 (minor), 28.6, 28.3 (minor), 15.9, 13.9, 13.6 (minor); HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{24}H_{29}N_2O_4]^+$: 409.2122; Found: 409.2120.

2-(4-Chlorophenyl)-N-cyclohexyl-2-(1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)acetamide (10d)

Light yellow oil (0.289 g, 66% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.71 (d, *J* = 7.8 Hz, 0.48H, Ar-H), 7.66 (d, *J* = 7.8 Hz, 0.52H, Ar-H), 7.32-7.25 (m, 4H, Ar-H), 7.18-6.96 (m, 3H, Ar-H), 6.29 (s, 1.48H, NH and 0.48CH), 6.02 (s, 0.52H, 0.52CH), 3.81-3.77 (m, 1H, NCH), 1.95-0.88 (m, 16H, $2CH_3$ and $5CH_2$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.9, 170.3 (minor), 167.1 (minor), 166.5, 136.9, 136.4 (minor), 133.4, 132.5 (minor), 132.3, 129.9, 129.5 (minor), 129.4, 128.7 (minor), 128.6, 128.5 (minor), 127.9 (minor), 127.7, 123.9, 123.4 (minor), 123.0, 117.7 (minor), 116.9, 63.9 (minor), 63.8, 61.4, 60.0, 48.6 (minor), 48.3, 32.8 (minor), 32.6, 32.4 (minor), 32.2, 25.4 (minor), 24.6, 24.3, 24.2 (minor), 15.8, 13.8, 13.6 (minor); HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{25}H_{28}ClN_2O_3]^+$: 439.1783; Found: 439.1782.

N-cyclohexyl-2-(1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)-2-(4-nitrophenyl)acetamide (10e)

Yellow oil (0.229 g, 51% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 8.53-8.12 (m, 3H, Ar-H), 7.75-6.85 (m, 5H, Ar-H), 6.52-6.19 (m, 2H, NH and CH), 3.80-3.77 (m, 1H, NCH), 1.98-0.86 (m, 16H, $2CH_3$ and $5CH_2$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.5, 169.1 (minor), 166.3, 165.9 (minor), 147.1, 141.2, 136.4, 136.0 (minor), 132.3 (minor), 130.0, 129.8 (minor), 128.9, 128.3, 128.1 (minor), 127.7 (minor), 123.8 (minor), 123.7, 123.3, 122.7 (minor), 117.6 (minor), 116.9, 115.6, 64.2, 63.8 (minor), 61.1, 59.3, 48.8, 48.5 (minor), 32.7 (minor), 32.6, 32.4, 32.1 (minor), 25.4 (minor), 24.7, 24.3, 24.1 (minor), 15.9, 15.5 (minor), 13.8 (minor), 13.5; HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{25}H_{28}N_3O_5]^+$: 450.2023; Found: 439.2020.

N-tert-Butyl-2-(6-bromo-1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)-2-(4-nitrophenyl)acetamide (10f)

Yellow oil (0.271 g, 54% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 8.17 and 8.13 (dd, *J* = 8.4 Hz, 2H, Ar-H), 7.84 and 7.78 (ss, 1H, Ar-H), 7.50-7.24 (m, 4H, Ar-H), 6.87 and 6.76 (dd, *J* = 7.8 Hz, 1H, Ar-H), 6.43 and 6.35 (ss, 1H, CH), 6.20 and 6.12 (ss, 1H, NH), 1.96 (s, 3H, CH_3), 1.84 and 1.79 (ss, 3H, CH_3), 1.33 (s, 4.5H, 1/2×$3CH_3$), 1.22 (s, 4.5H, 1/2×$3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 171.1,

170.1, 166.0, 165.8, 147.1, 147.0, 140.8, 140.5, 132.7, 132.4, 131.1, 130.8, 128.8, 128.3, 126.1, 126.0, 123.4, 118.6, 116.6, 116.3, 64.0, 63.7, 63.5, 52.0, 51.9, 28.5, 28.3, 15.8, 13.8, 13.5; HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{23}H_{25}BrN_3O_5]^+$: 502.0972; Found: 502.0973.

N-tert-Butyl-2-(6-chloro-1a,2-dihydro-1a-methyl-2-oxo-7b-phenyloxireno[2,3-c]quinolin-3(7bH)-yl)-2-(4-chlorophenyl)acetamide (10g)

Light yellow oil (0.280 g, 55% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.61 (t, *J* = 7.2 Hz, 1H, Ar-H), 7.54 (t, *J* = 7.8 Hz, 1H, Ar-H), 7.50-6.96 (m, 10H, Ar-H), 6.39 (s, 0.65H, 0.65NH), 6.28 (s, 0.35H, 0.35NH), 6.11 and 6.06 (ss, 1H, CH), 1.40 and 1.37 (ss, 3H, CH_3), 1.36 and 1.27 (ss, 9H, $3CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.0, 169.1 (minor), 166.8 (minor), 166.4, 136.1 (minor), 135.6, 133.7, 133.4, 133.3 (minor), 132.3, 131.9 (minor), 130.6 (minor), 130.3, 129.8 (minor), 129.3 (minor), 129.2, 129.0, 128.8, 128.6, 128.4, 128.1 (minor), 128.0, 125.9, 119.5, 118.3, 68.7, 64.8, 61.9 (minor), 60.5, 52.0, 51.8 (minor), 29.7 (minor), 28.6, 28.4 (minor), 14.8, 14.5 (minor); HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{28}H_{27}Cl_2N_2O_3]^+$: 509.1393; Found: 509.1394.

N-tert-Butyl-2-(1a,2-dihydro-1a-methyl-2-oxo-7b-phenyloxireno[2,3-c]quinolin-3(7bH)-yl)-2-(4-methoxyphenyl)acetamide (10h)

Light yellow oil (0.267 g, 57% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.63 (t, *J* = 7.8 Hz, 1H, Ar-H), 7.51 (t, *J* = 7.2 Hz, 1H, Ar-H), 7.44-6.87 (m, 11H, Ar-H), 6.26 and 6.17 (ss, 1.38H, NH and 0.38CH), 5.88 (s, 0.62H, 0.62CH), 3.79 and 3.78 (ss, 3H, OCH_3), 1.40-1.28 (m, 12H, $4CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 169.7, 169.2 (minor), 167.8 (minor), 167.1, 159.0, 138.1, 137.7 (minor), 134.5, 134.3 (minor), 130.8 (minor), 130.6, 129.9, 129.3, 128.7, 128.5, 128.0, 126.3, 125.9 (minor), 124.0, 122.8 (minor), 122.3, 117.3 (minor), 116.6, 114.1, 113.9 (minor), 69.1, 64.6, 62.8 (minor), 61.6, 55.2, 51.7, 51.5 (minor), 29.6 (minor), 28.6, 28.4 (minor), 14.8, 14.5 (minor); HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{29}H_{31}N_2O_4]^+$: 471.2278; Found: 471.2278.

N-tert-Butyl-2-(1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)pentanamide (10i)

Light yellow oil (0.224 g, 65% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 8.85 (s, 0.6H, 0.6NH), 7.78-6.65 (m, 4H, Ar-H), 5.95 (s, 0.4H, 0.4NH), 5.23 (d, *J* = 6.0 Hz, 0.6H, 0.6CH), 3.91 (s, 0.4H, 0.4CH), 2.59-0.65 (m, 22H, $2CH_2$ and $6CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 172.0 (minor), 171.3, 169.5 (minor), 169.0, 156.1 (minor), 150.0, 135.2 (minor), 132.6, 132.1 (minor), 129.6, 127.8 (minor), 122.9, 121.3, 118.4 (minor), 116.2, 113.1 (minor), 53.4, 51.2, 51.1, 50.8, 35.8, 30.7 (minor), 28.8, 28.5

(minor), 28.3, 28.1 (minor), 18.9, 15.7, 13.8, 13.2 (minor); HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{20}H_{29}N_2O_3]^+$: 345.2173; Found: 345.2178.

N-tert-Butyl-2-(1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)butanamide (10j)

Light yellow oil (0.204 g, 62% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 8.89 (s, 0.57H, 0.57NH), 7.79-6.64 (m, 4H, Ar-H), 5.95 (s, 0.43H, 0.43NH), 5.24 (s, 0.57H, 0.57CH), 3.85 (dd, J_1 = 8.4 Hz, J_2 = 4.8 Hz, 0.43H, 0.43CH), 2.61-0.80 (m, 20H, CH_2 and 6CH_3); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 171.7, 169.6, 155.8 (minor), 150.0, 135.1, 132.6 (minor), 132.2 (minor), 129.5, 127.8, 124.0 (minor), 122.9, 121.2 (minor), 116.2, 113.1 (minor), 63.8, 63.4, 55.1, 51.1 (minor), 50.9, 30.6 (minor), 29.7 (minor), 28.8, 28.5, 28.3, 28.1 (minor), 27.0, 21.5 (minor), 16.0 (minor), 15.7, 13.9, 11.4 (minor), 10.2; HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{19}H_{27}N_2O_3]^+$: 331.2016, found: 331.2016.

N-Butyl-2-(1a,2-dihydro-1a,7b-dimethyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)-2-(4-methoxyphenyl)acetamide (10k)

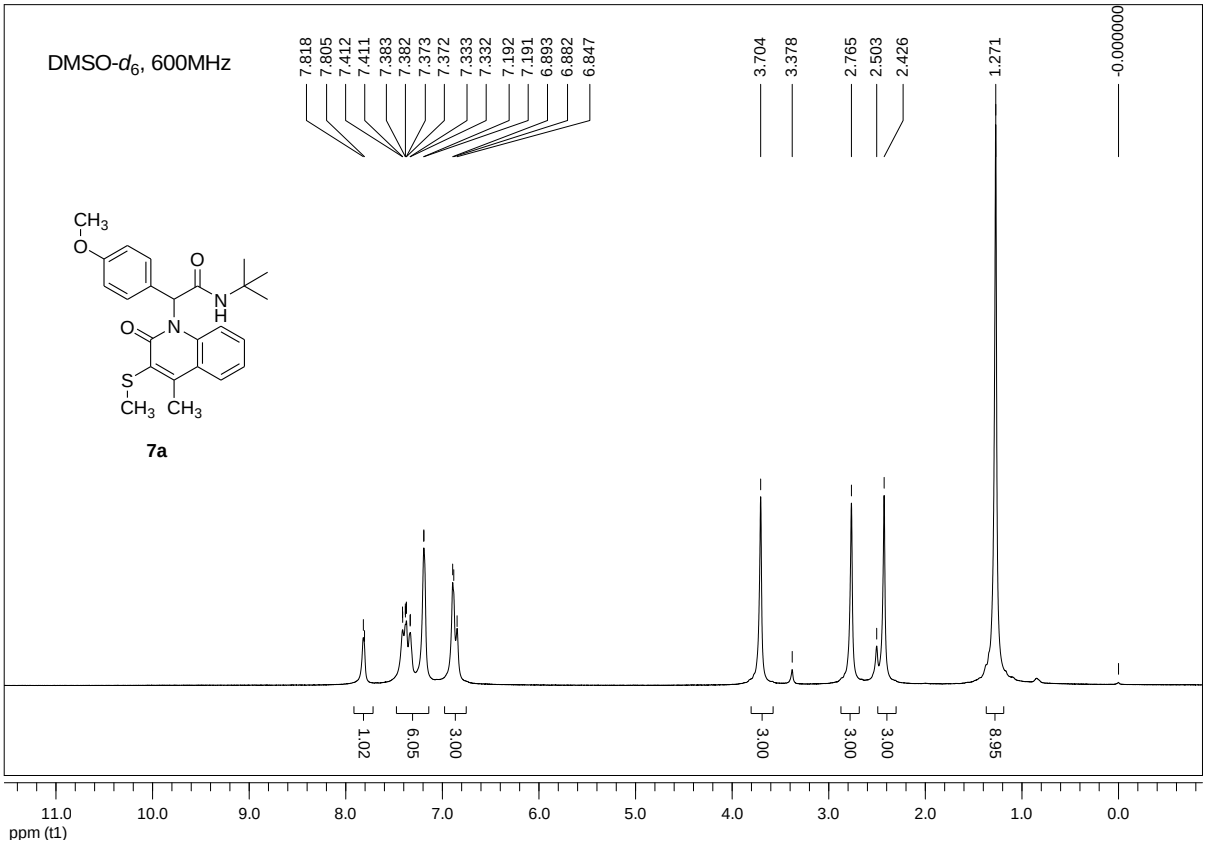
Light yellow oil (0.310 g, 76% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.70 (d, *J* = 7.8 Hz, 0.35H, Ar-H), 7.65 (d, *J* = 7.2 Hz, 0.65H, Ar-H), 7.32-7.03 (m, 5H, Ar-H), 6.85 (t, *J* = 8.4 Hz, 2H, Ar-H), 6.37 (s, 0.35H, 0.35NH), 6.26 (s, 0.65H, 0.65NH), 6.13 (s, 0.65H, 0.65CH), 6.05 (s, 0.65H, 0.65CH), 3.78 and 3.77 (ss, 3H, OCH_3), 3.34-3.13 (m, 2H, NCH_2), 1.93 (s, 3H, CH_3), 1.81 and 1.76 (ss, 3H, CH_3), 1.44-0.82 (m, 7H, $CH_2CH_2CH_3$); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.6, 169.8 (minor), 168.8 (minor), 168.0, 158.9, 137.4 (minor), 136.8, 129.9 (minor), 129.4, 129.2, 128.6 (minor), 127.8 (minor), 127.6, 126.0, 125.8 (minor), 123.9, 123.1 (minor), 122.7, 117.5 (minor), 116.4, 114.0, 113.9 (minor), 63.7 (minor), 63.6, 62.5, 60.6, 55.2, 39.5, 31.4, 31.2 (minor), 20.0, 19.8 (minor), 15.9, 13.9 (minor), 13.7, 13.6; HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{24}H_{29}N_2O_4]^+$: 409.2122; Found: 409.2121.

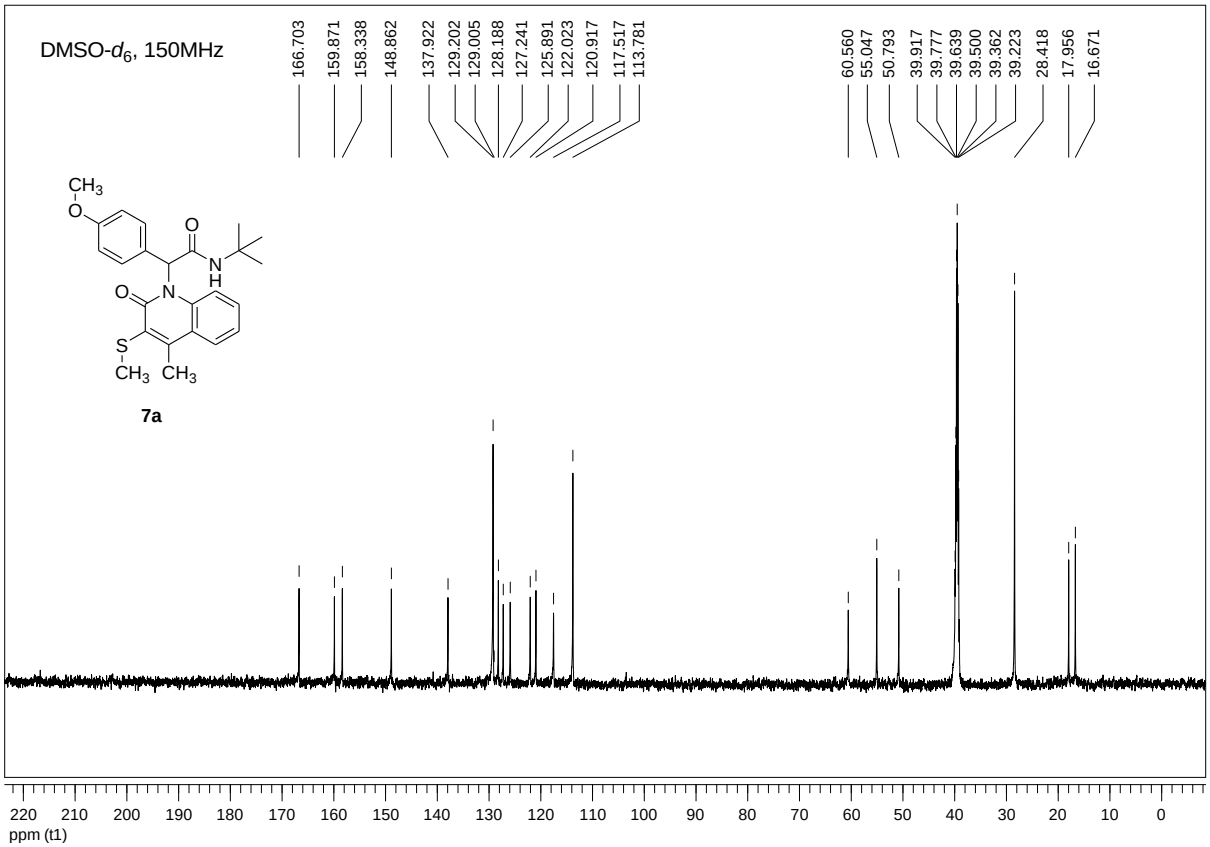
N-tert-Butyl-2-(7b-ethyl-1a,2-dihydro-1a-methyl-2-oxooxireno[2,3-c]quinolin-3(7bH)-yl)-2-(4-methoxyphenyl)acetamide (10l)

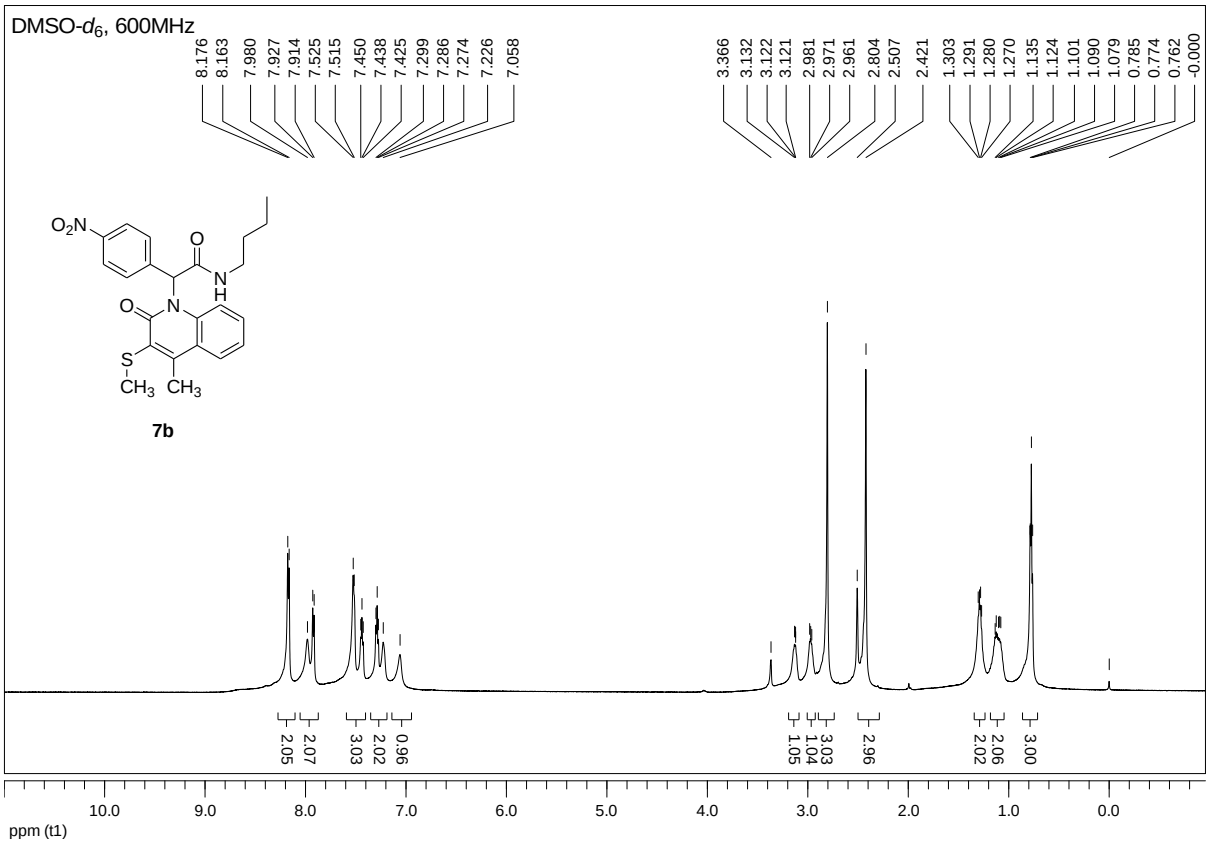
Light yellow oil (0.245 g, 58% yield); ^{1}H NMR ($CDCl_3$, 600 MHz): δ (ppm) 7.61-6.83 (m, 8H, Ar-H), 6.21 (s, 0.65H, 0.65NH), 6.12 (s, 0.35H, 0.35NH), 5.99 (s, 0.35H, 0.35CH), 5.91 (s, 0.65H, 0.65CH), 3.78 and 3.77 (ss, 3H, OCH_3), 2.73-2.67 (m, 1H, CH_2^a), 1.83-1.77 (m, 4H, CH_2^a and CH_3), 1.33 (s, 6H, 2/3×3CH_3), 1.23 (s, 3H, 1/3×3CH_3), 1.19-1.06 (m, 3H, CH_3); ^{13}C {^{1}H} NMR ($CDCl_3$, 150 MHz): δ (ppm) 170.2, 169.4 (minor), 167.4 (minor), 167.0, 159.0, 136.6 (minor), 136.2, 129.4 (minor), 129.1, 129.0, 128.7, 128.5 (minor), 128.0 (minor), 127.7, 125.8, 125.3 (minor), 123.6, 119.7 (minor), 118.6, 114.1, 113.9 (minor), 66.5,

63.3, 62.3 (minor), 61.3, 55.2, 51.7, 51.6 (minor), 29.4 (minor), 28.6, 28.3 (minor), 22.9, 22.8 (minor), 13.5, 13.2 (minor), 10.1; HRMS (ESI-TOF) *m/z* $[M+H]^+$ Calcd for $[C_{25}H_{31}N_2O_4]^+$: 423.2278; Found: 423.2274.

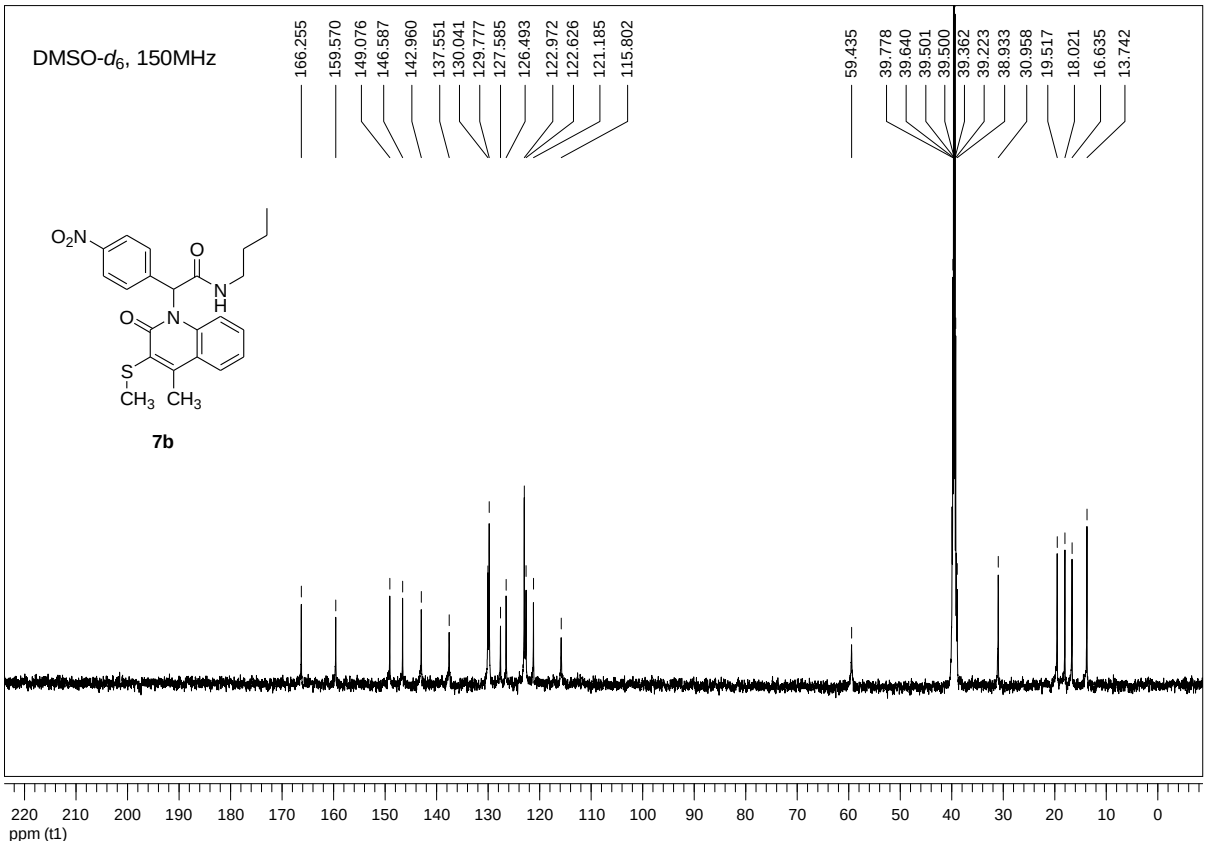
3. Copies of ^{1}H and ^{13}C NMR spectrum of compound 7a-v, 10a-l



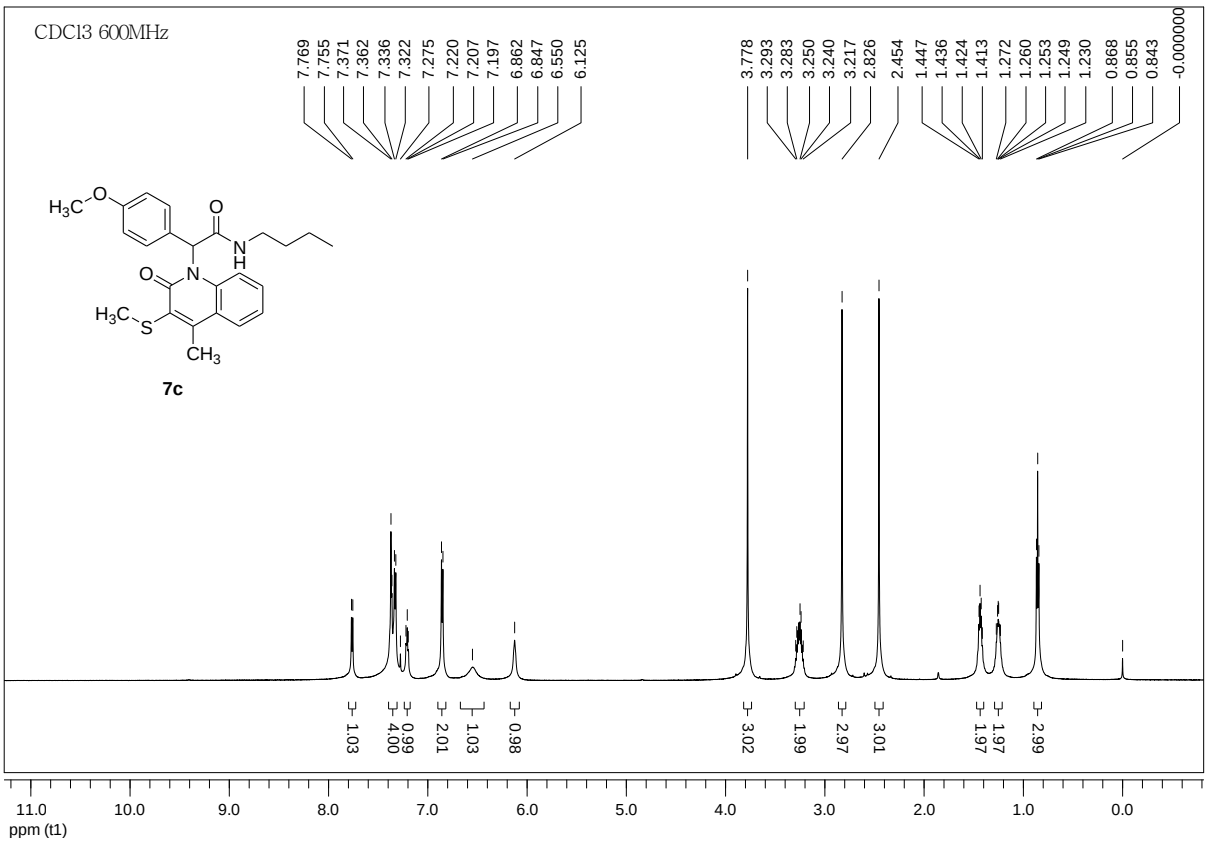



DMSO-d_6, 600MHz
8.176
8.163
7.980
7.927
7.914
7.525
7.515
7.450
7.438
7.425
7.299
7.286
7.274
7.226
7.058
3.366
3.132
3.122
3.121
2.981
2.971
2.961
2.804
2.507
2.421
1.303
1.291
1.280
1.270
1.135
1.124
1.101
1.090
1.079
0.785
0.774
0.762
-0.000
2.05
2.07
3.03
2.02
0.96
1.05
1.04
3.03
2.96
2.02
2.06
3.00
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

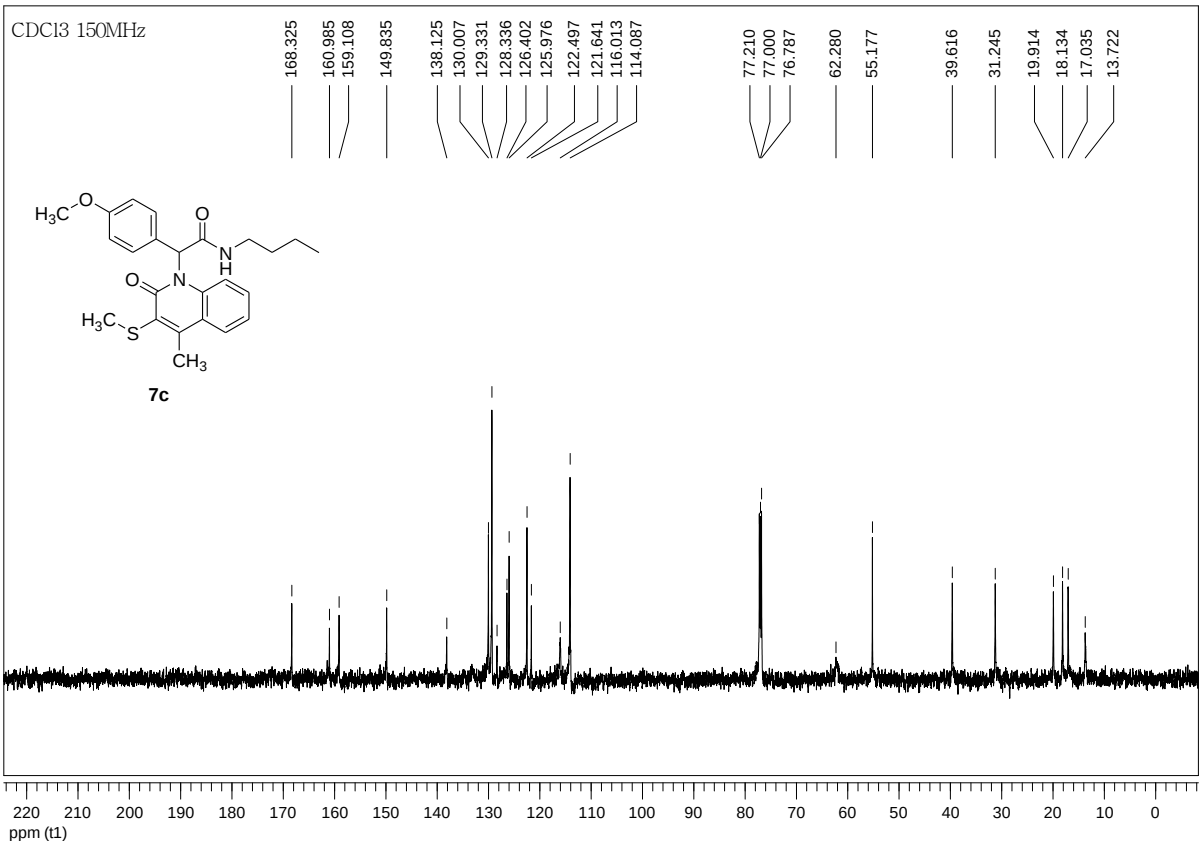
7b


DMSO-d_6, 150MHz
166.255
159.570
149.076
146.587
142.960
137.551
130.041
129.777
127.585
126.493
122.972
122.626
121.185
115.802
59.435
39.778
39.640
39.501
39.500
39.362
39.223
38.933
30.958
19.517
18.021
16.635
13.742
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

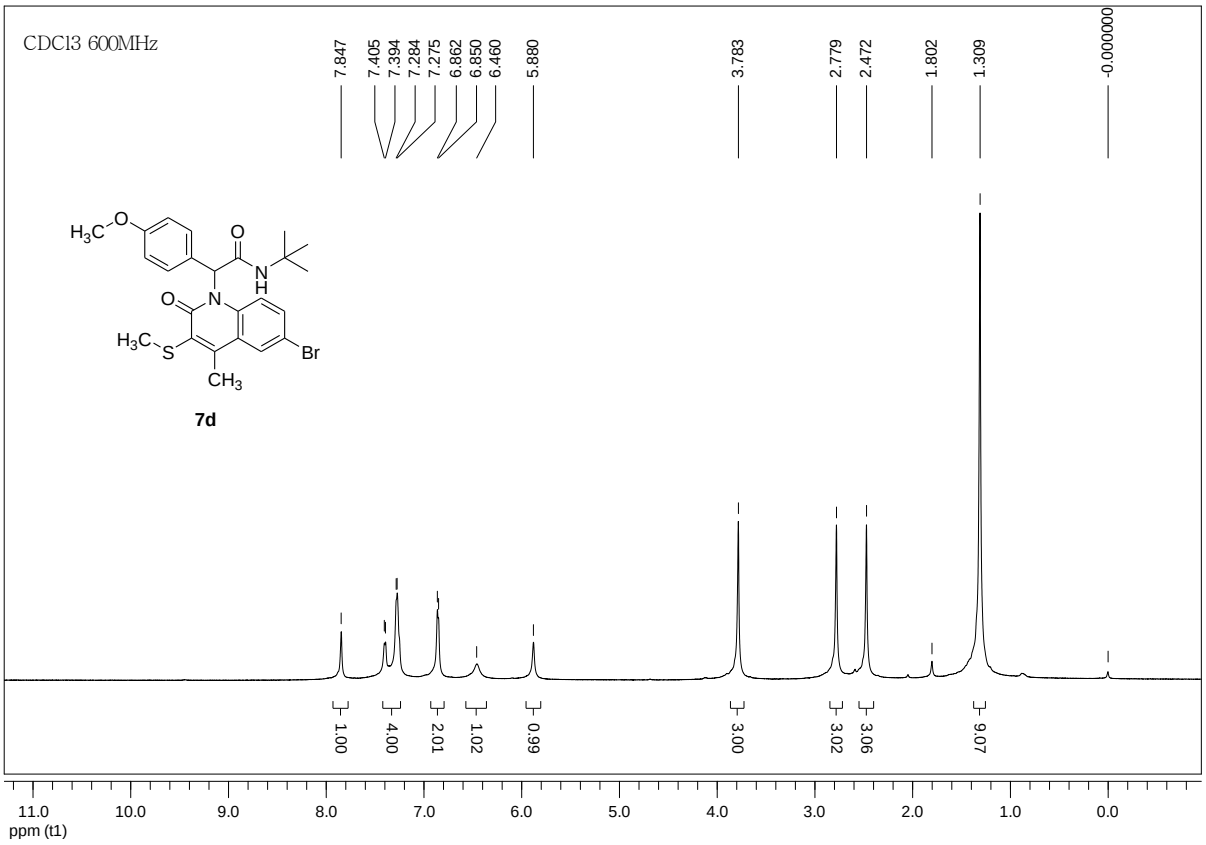
7b


CDCl3 600MHz
7.769
7.755
7.371
7.362
7.336
7.322
7.275
7.220
7.207
7.197
6.862
6.847
6.550
6.125
3.778
3.293
3.283
3.250
3.240
3.217
2.826
2.454
1.447
1.436
1.424
1.413
1.272
1.260
1.253
1.249
1.230
0.868
0.855
0.843
-0.000000
1.03
4.00
0.99
2.01
1.03
0.98
3.02
1.96
2.97
3.01
1.97
1.97
2.99
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

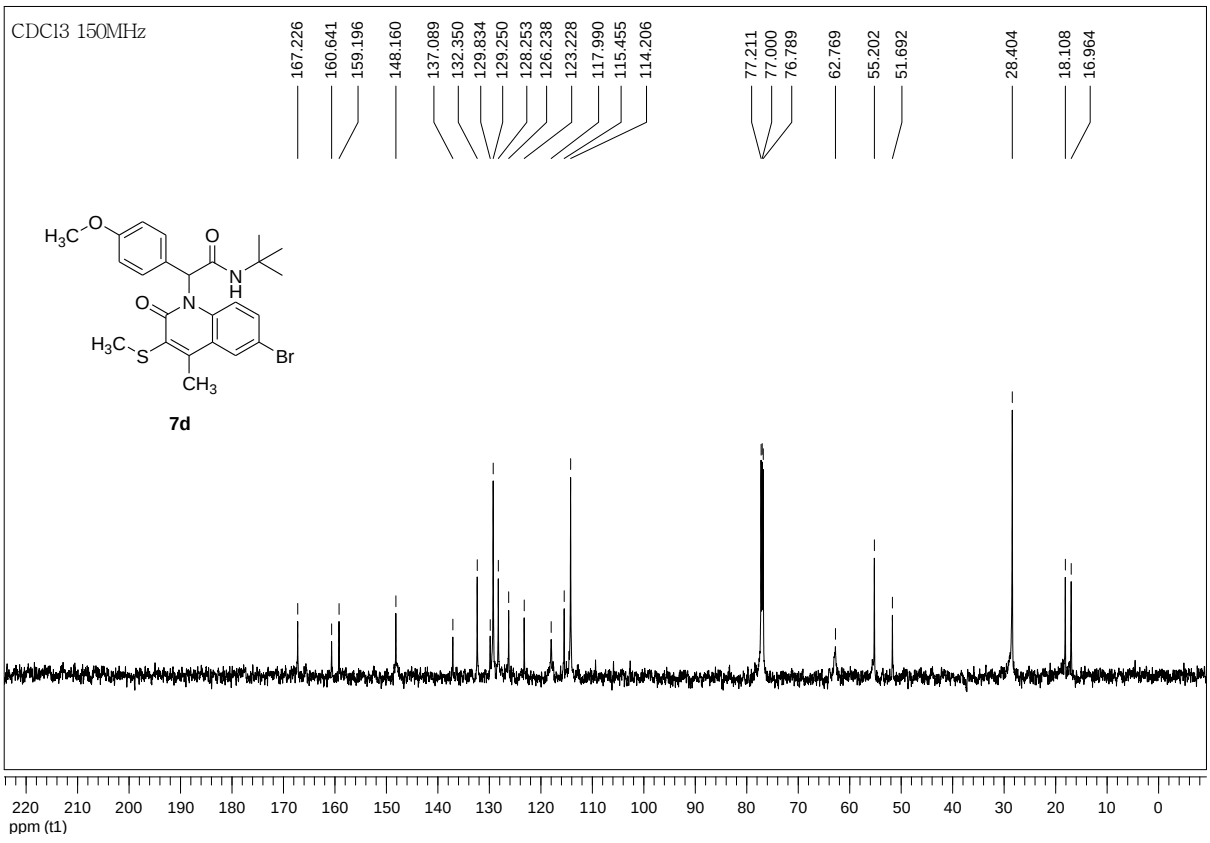
7c


CDCl3 150MHz
168.325
160.985
159.108
149.835
138.125
130.007
129.331
128.336
126.402
125.976
122.497
121.641
116.013
114.087
77.210
77.000
76.787
62.280
55.177
39.616
31.245
19.914
18.134
17.035
13.722
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

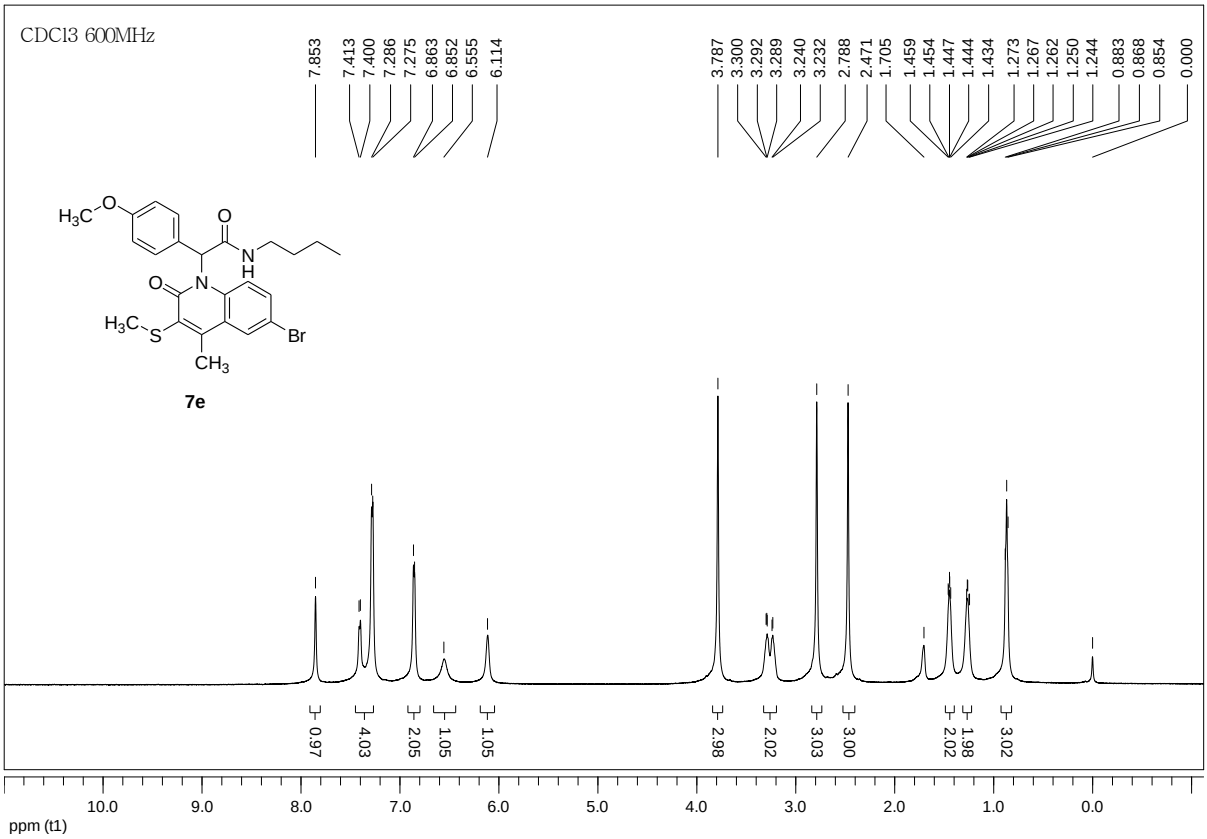
7c

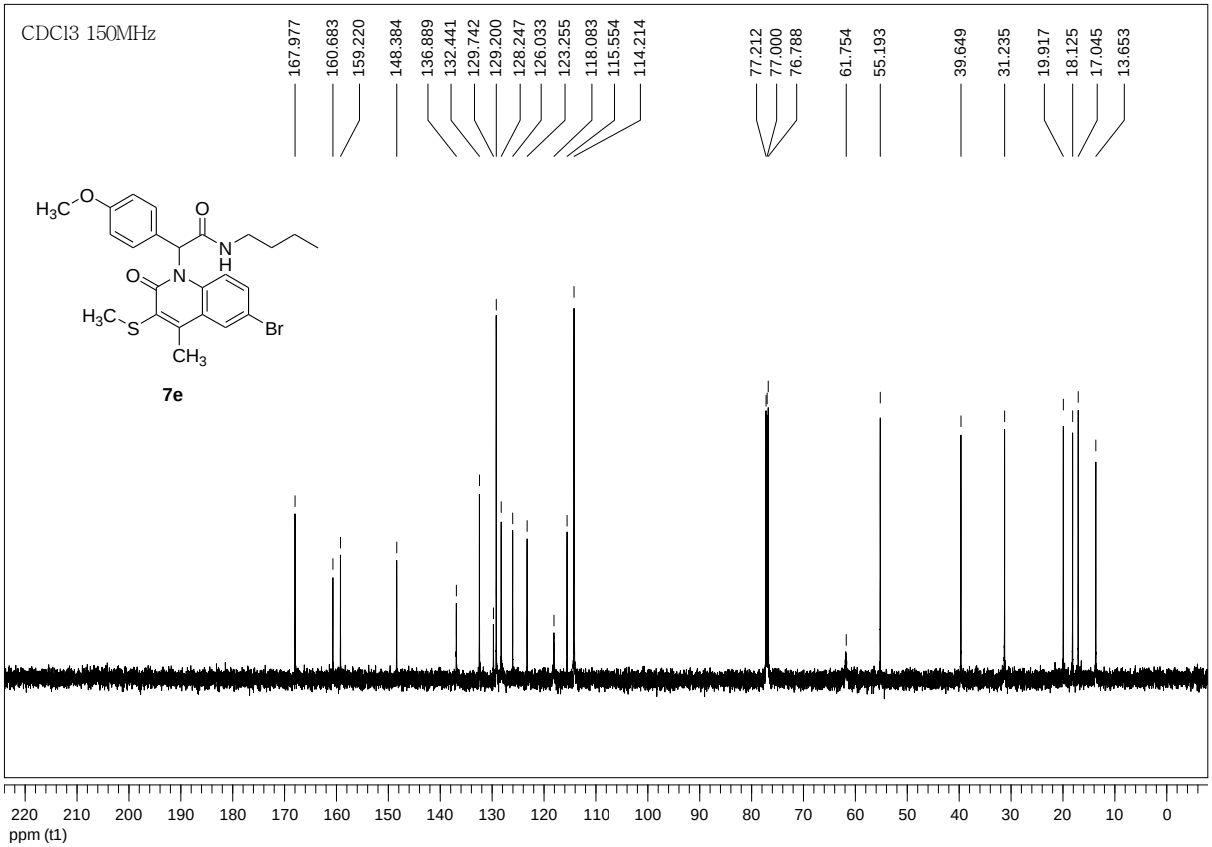

CDCl3 600MHz
7.847
7.405
7.394
7.284
7.275
6.862
6.850
6.460
5.880
3.783
2.779
2.472
1.802
1.309
-0.000000
1.00
4.00
2.01
1.02
0.99
3.00
3.02
3.06
9.07
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

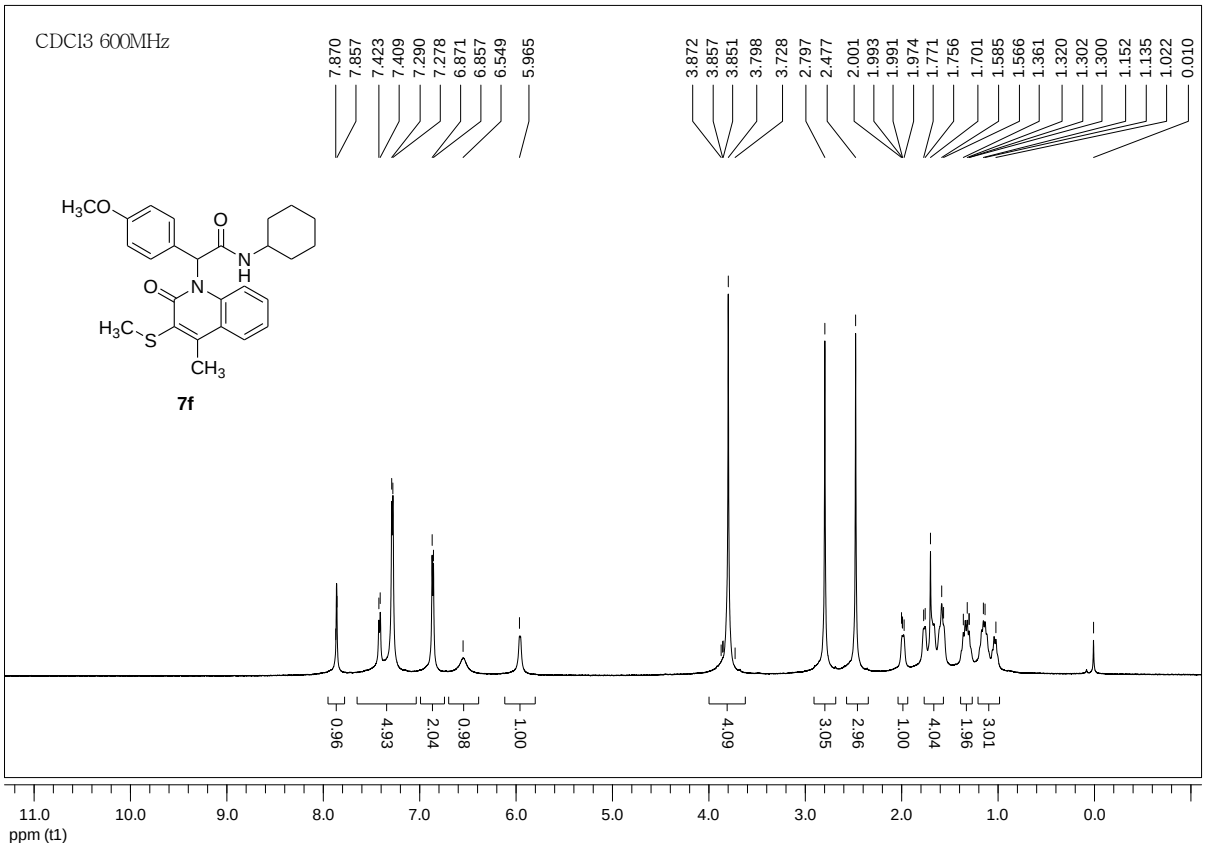
7d


CDCl3 150MHz
167.226
160.641
159.196
148.160
137.089
132.350
129.834
129.250
128.253
126.238
123.228
117.990
115.455
114.206
77.211
77.000
76.789
62.769
55.202
51.692
28.404
18.108
16.964
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

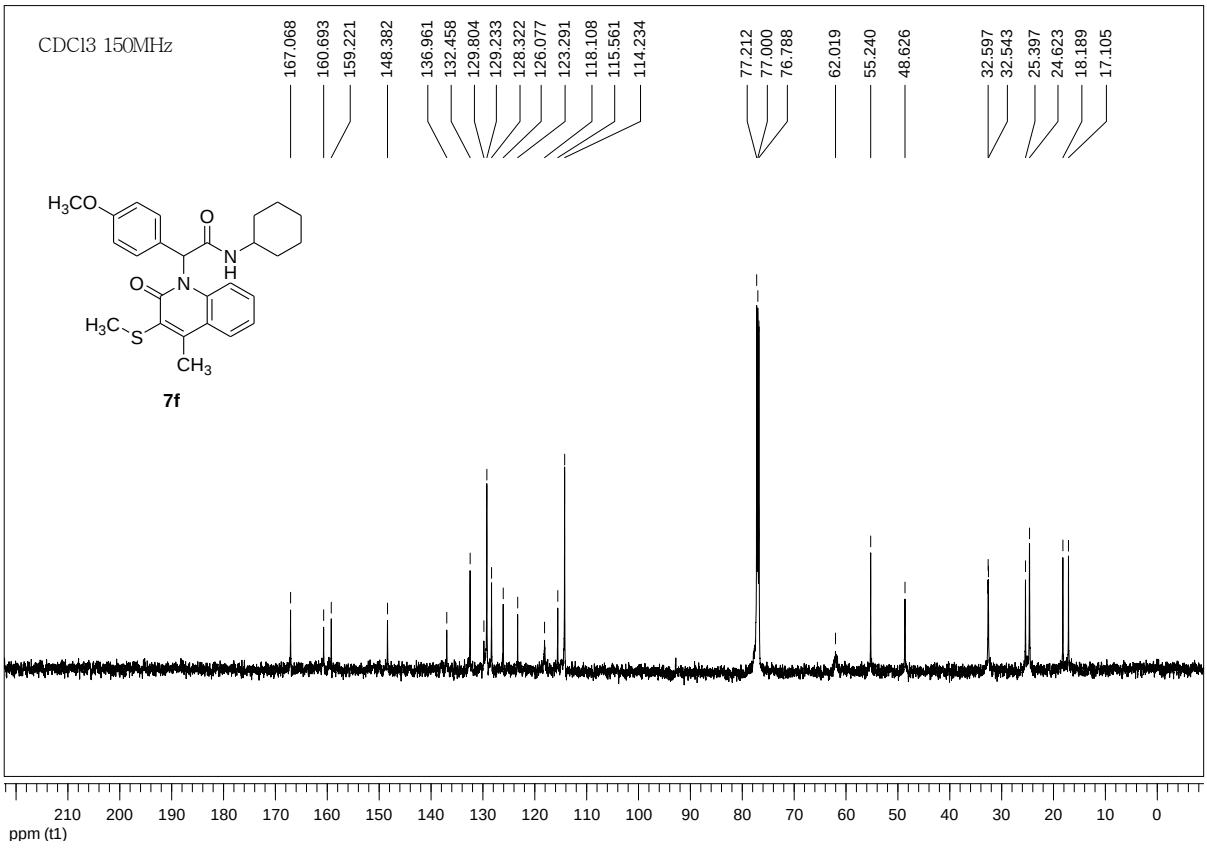
7d


CDCl3 600MHz
7.853
7.413
7.400
7.286
7.275
6.863
6.852
6.555
6.114
3.787
3.300
3.292
3.289
3.240
3.232
2.788
2.471
1.705
1.459
1.454
1.447
1.444
1.434
1.273
1.267
1.262
1.250
1.244
0.883
0.868
0.854
0.000
7e
0.97
4.03
2.05
1.05
1.05
2.98
2.02
3.03
3.00
2.02
1.98
3.02
ppm (t1)

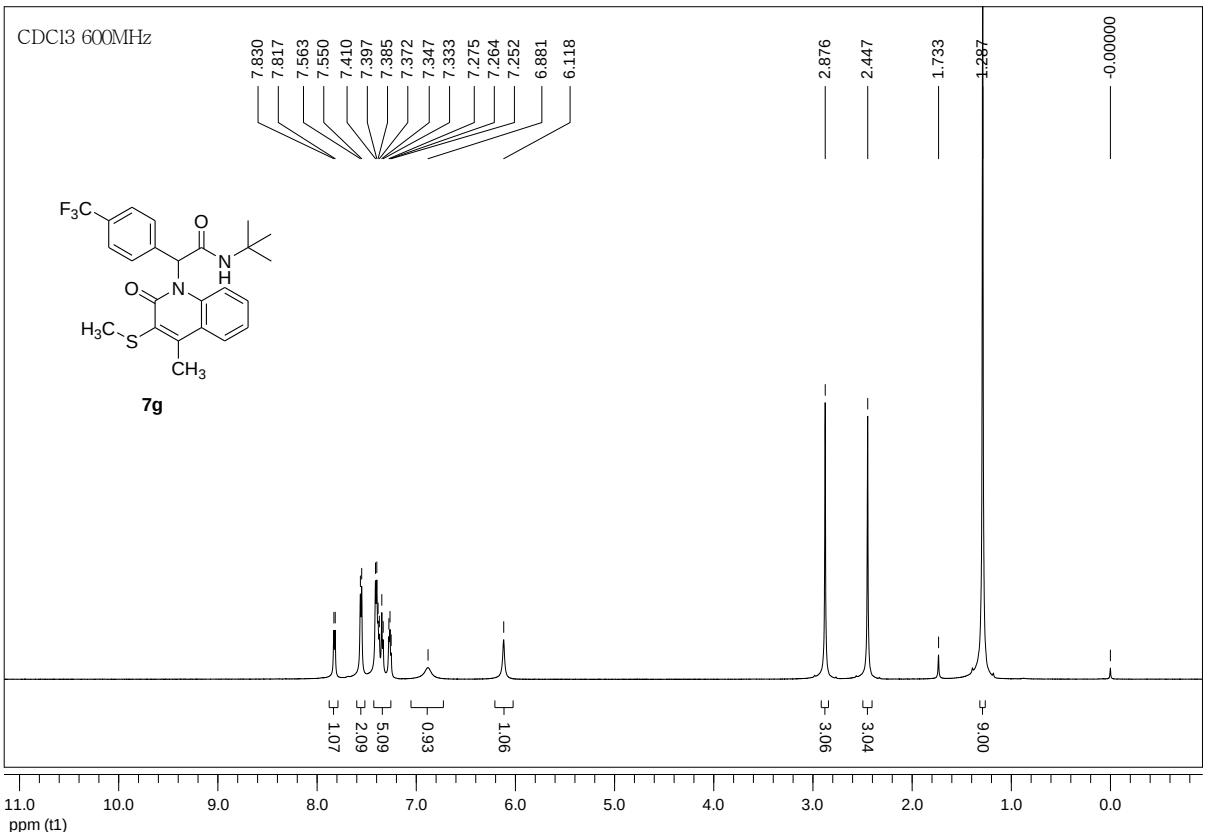

CDCl3 150MHz
167.977
160.683
159.220
148.384
136.889
132.441
129.742
129.200
128.247
126.033
123.255
118.083
115.554
114.214
77.212
77.000
76.788
61.754
55.193
39.649
31.235
19.917
18.125
17.045
13.653
7e
ppm (t1)


CDCl3 600MHz
7.870
7.857
7.423
7.409
7.290
7.278
6.871
6.857
6.549
5.965
3.872
3.857
3.851
3.798
3.728
2.797
2.477
2.001
1.993
1.991
1.974
1.771
1.756
1.701
1.585
1.566
1.361
1.320
1.302
1.300
1.152
1.135
1.022
0.010
0.96
4.93
2.04
0.98
1.00
4.09
3.05
2.96
1.00
4.04
1.96
3.01
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

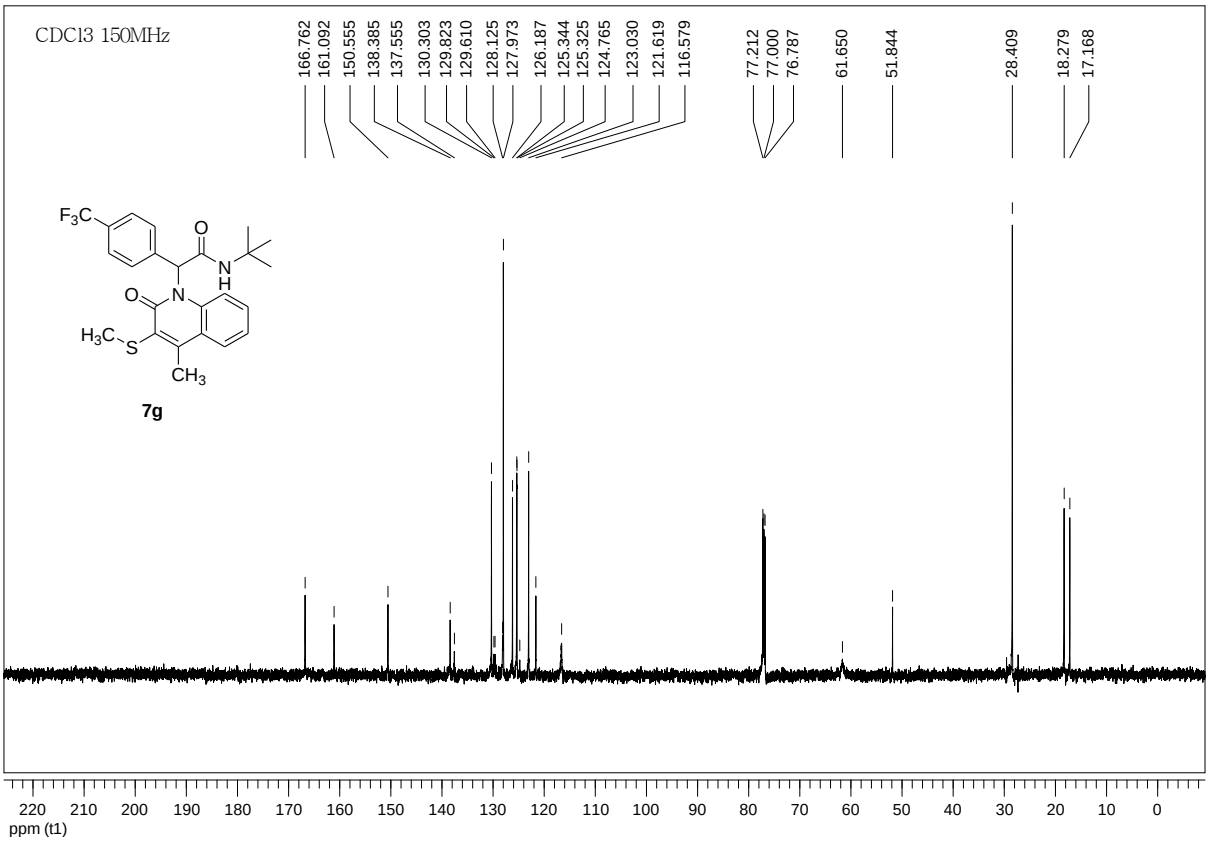
7f


CDCl3 150MHz
167.068
160.693
159.221
148.382
136.961
132.458
129.804
129.233
128.322
126.077
123.291
118.108
115.561
114.234
77.212
77.000
76.788
62.019
55.240
48.626
32.597
32.543
25.397
24.623
18.189
17.105
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

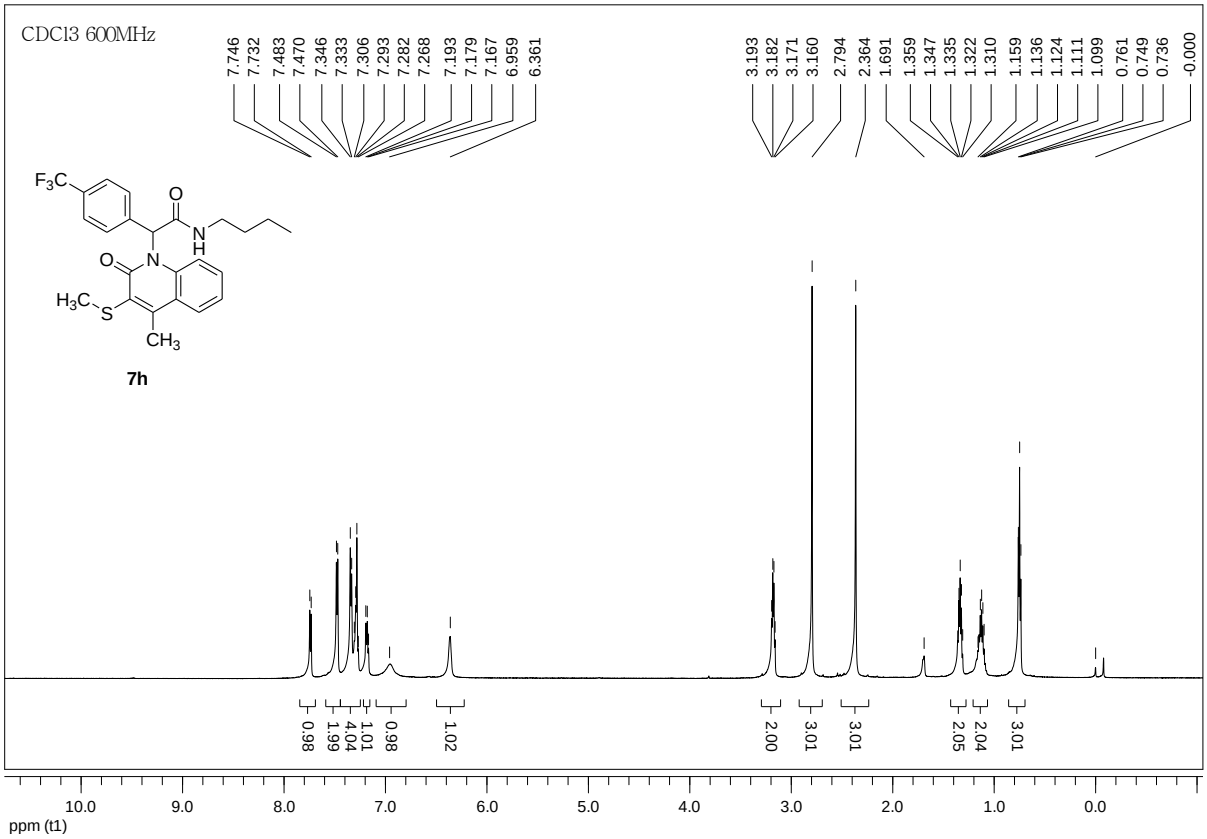
7f

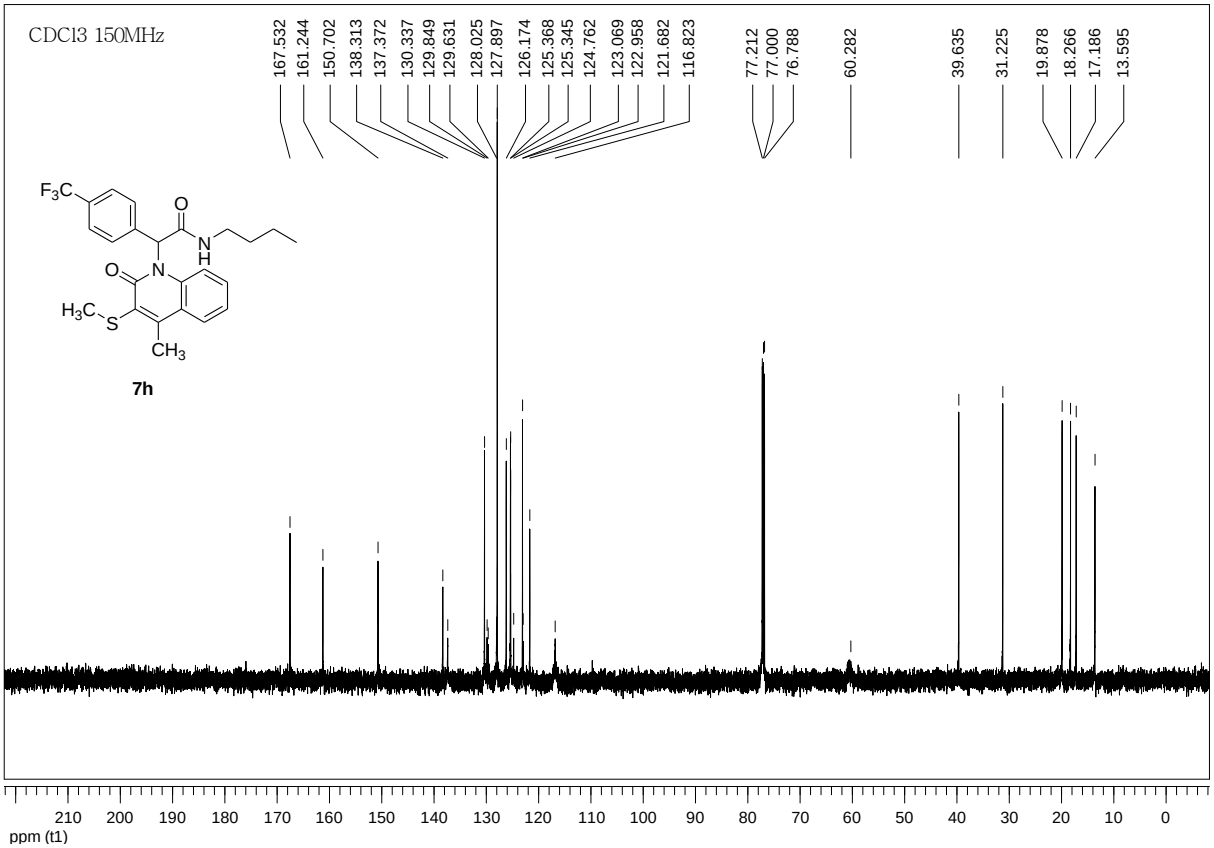

CDCl3 600MHz
7.830
7.817
7.563
7.550
7.410
7.397
7.385
7.372
7.347
7.333
7.275
7.264
7.252
6.881
6.118
2.876
2.447
1.733
1.287
-0.00000
1.07
2.09
5.09
0.93
1.06
3.06
3.04
9.00
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

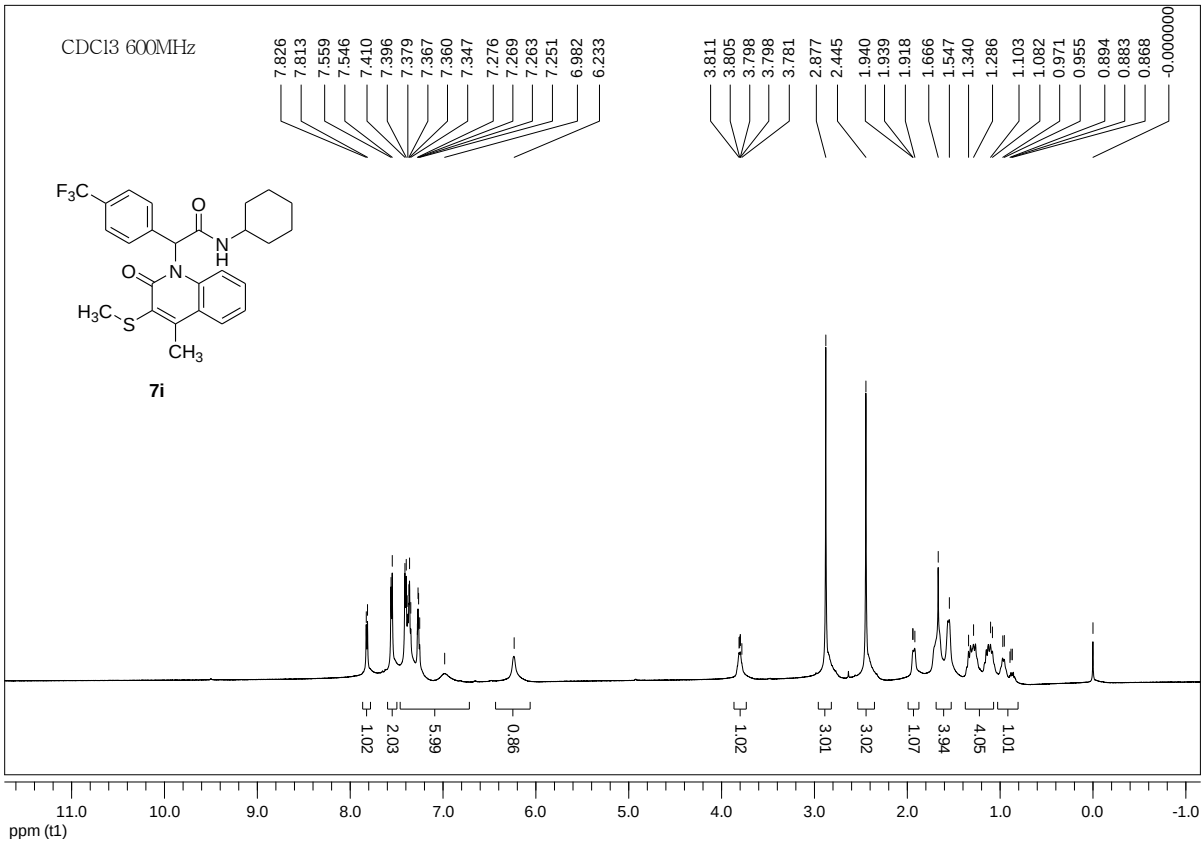
7g

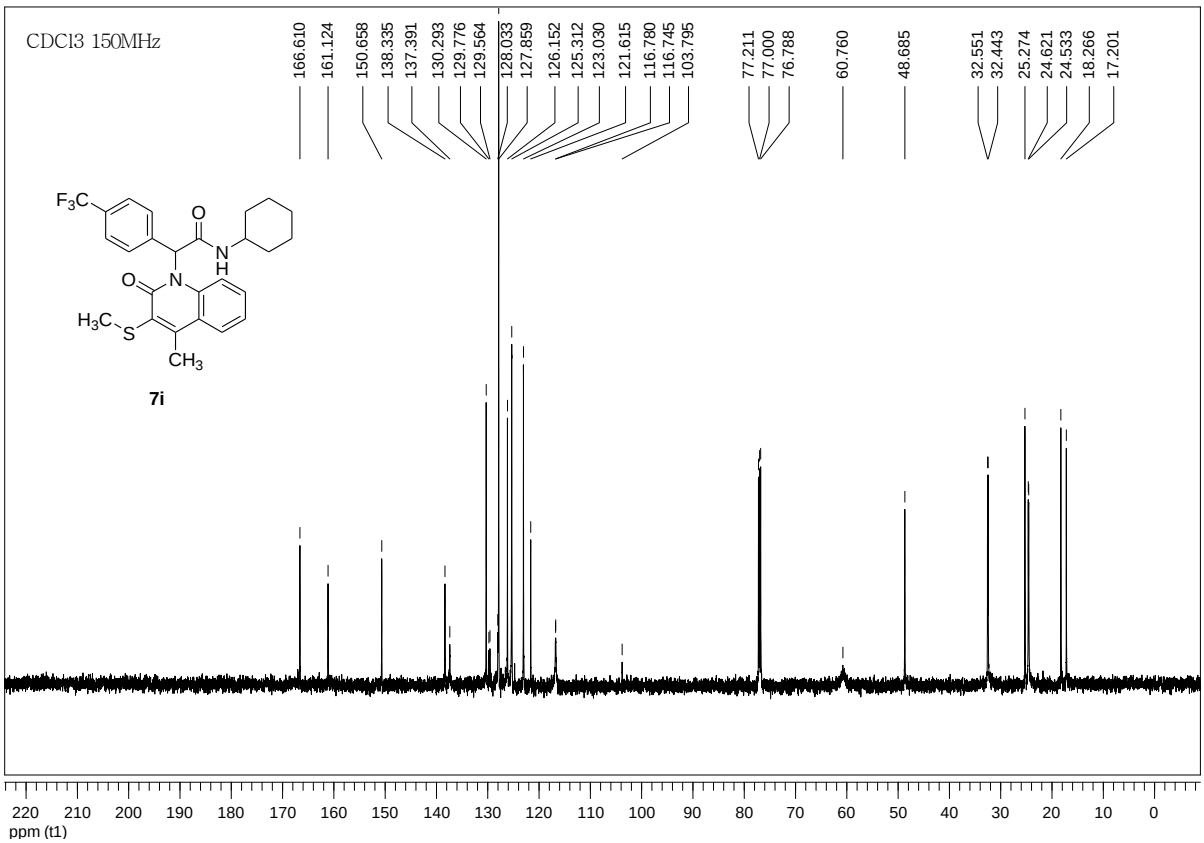

CDCl3 150MHz
166.762
161.092
150.555
138.385
137.555
130.303
129.823
129.610
128.125
127.973
126.187
125.344
125.325
124.765
123.030
121.619
116.579
77.212
77.000
76.787
61.650
51.844
28.409
18.279
17.168
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

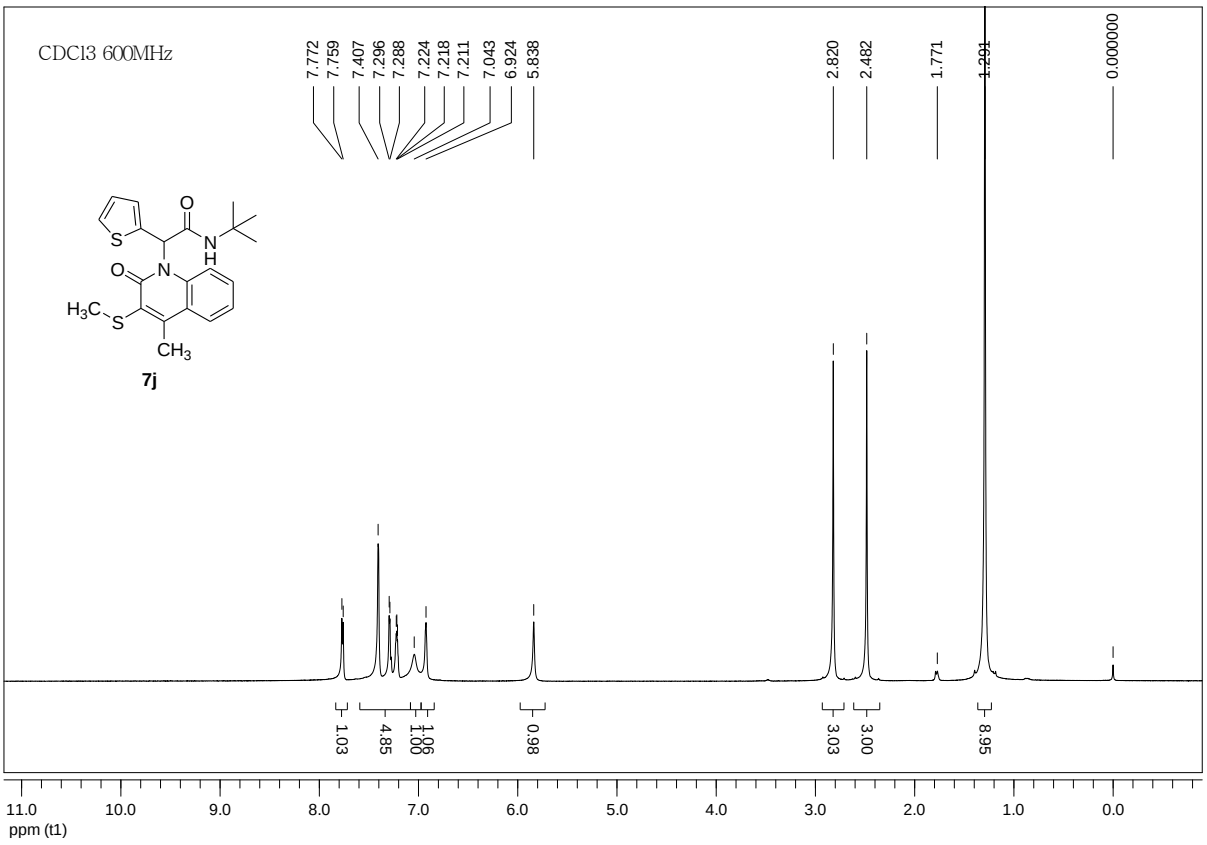
7g

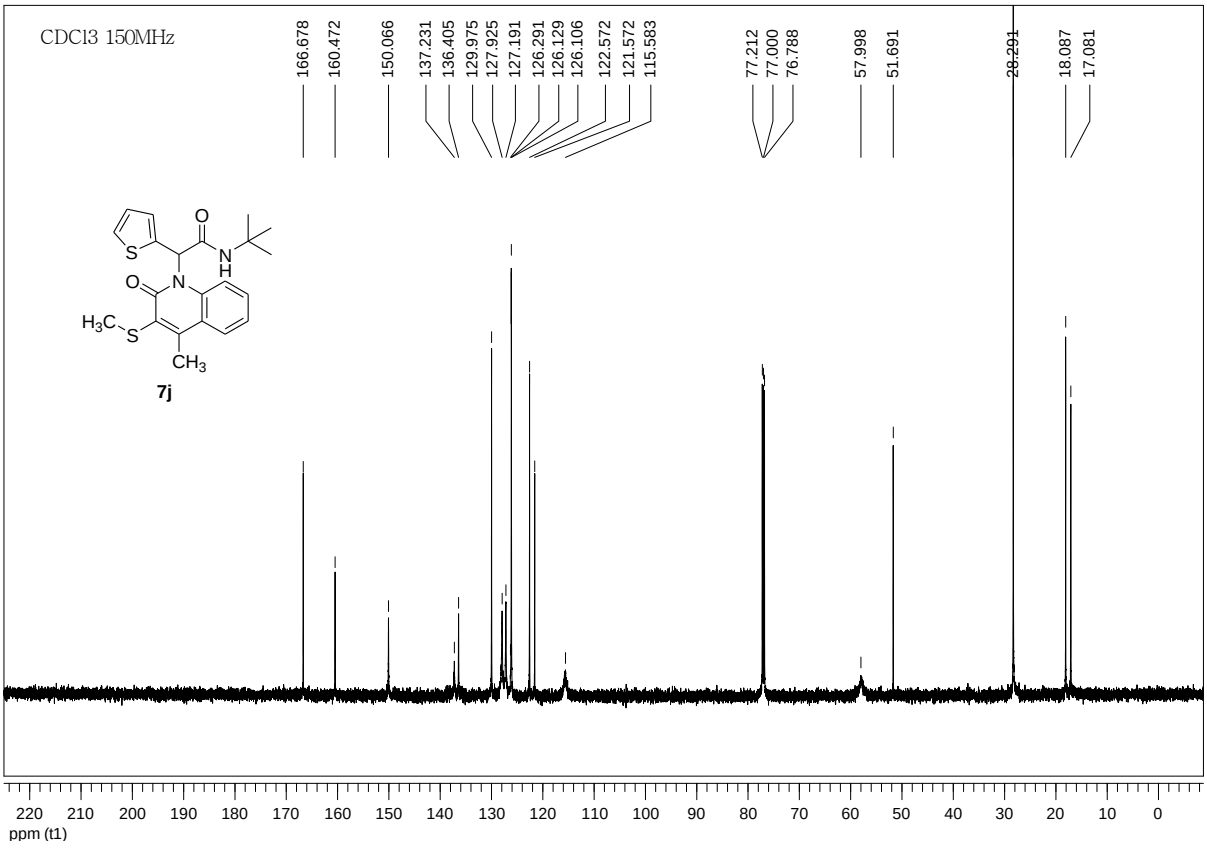

CDCl3 600MHz
7.746
7.732
7.483
7.470
7.346
7.333
7.306
7.293
7.282
7.268
7.193
7.179
7.167
6.959
6.361
3.193
3.182
3.171
3.160
2.794
2.364
1.691
1.359
1.347
1.335
1.322
1.310
1.159
1.136
1.124
1.111
1.099
0.761
0.749
0.736
-0.000
F3C
O
N
H
O
N
H3C
S
CH3
7h
0.98
1.99
4.04
1.01
0.98
1.02
2.00
3.01
3.01
2.05
2.04
3.01
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

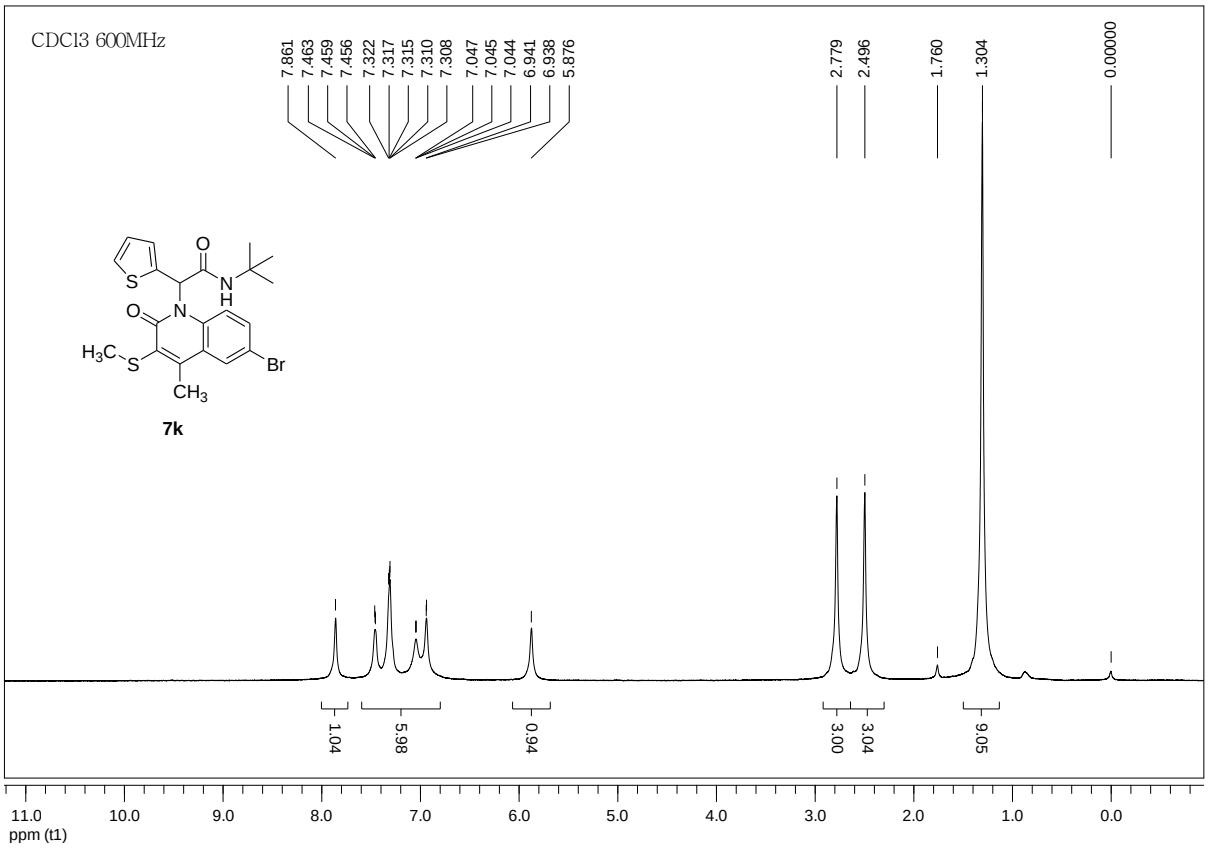

CDCl3 150MHz
167.532
161.244
150.702
138.313
137.372
130.337
129.849
129.631
128.025
127.897
126.174
125.368
125.345
124.762
123.069
122.958
121.682
116.823
77.212
77.000
76.788
60.282
39.635
31.225
19.878
18.266
17.186
13.595
F3C
O
N
H
O
N
H3C
S
CH3
7h
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

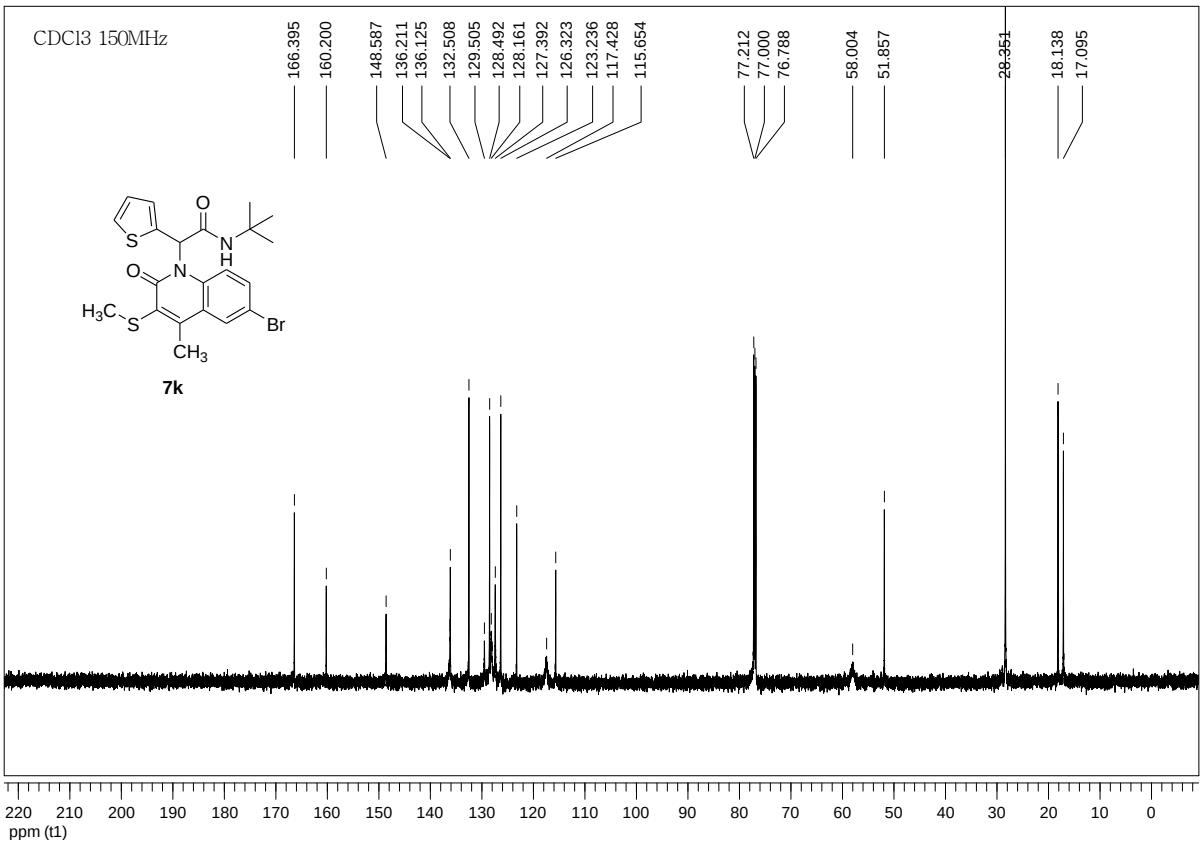

CDCl3 600MHz
7.826
7.813
7.559
7.546
7.410
7.396
7.379
7.367
7.360
7.347
7.276
7.269
7.263
7.251
6.982
6.233
3.811
3.805
3.798
3.798
3.781
2.877
2.445
1.940
1.939
1.918
1.666
1.547
1.340
1.286
1.103
1.082
0.971
0.955
0.894
0.883
0.868
-0.000000
F3C
O
N
H
O
N
H3C
S
CH3
7i
1.02
2.03
5.99
0.86
1.02
3.01
3.02
1.07
3.94
4.05
1.01
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
-1.0
ppm (t1)

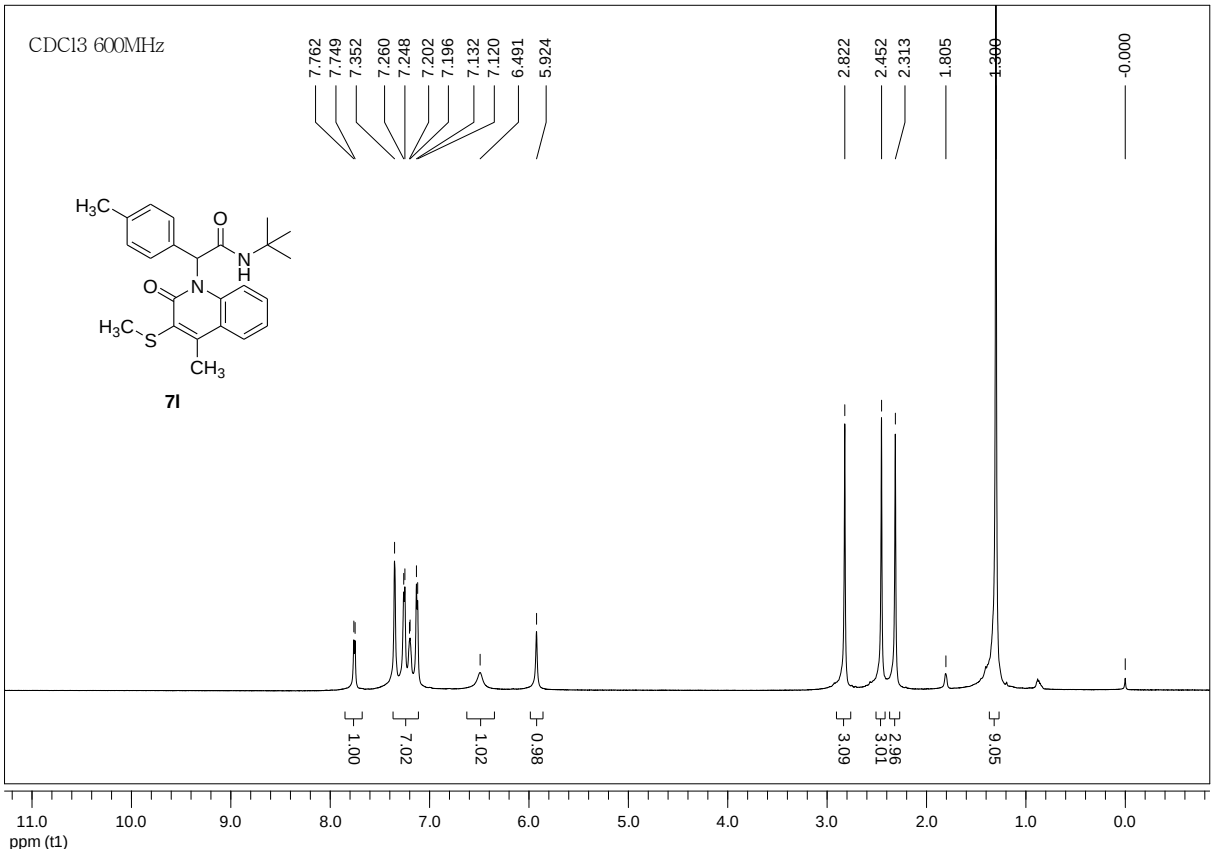

CDCl3 150MHz
166.610
161.124
150.658
138.335
137.391
130.293
129.776
129.564
128.033
127.859
126.152
125.312
123.030
121.615
116.780
116.745
103.795
77.211
77.000
76.788
60.760
48.685
32.551
32.443
25.274
24.621
24.533
18.266
17.201
F3C
O
N
H
O
N
H3C
S
CH3
7i
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)


CDCl3 600MHz
7.772
7.759
7.407
7.296
7.288
7.224
7.218
7.211
7.043
6.924
5.838
2.820
2.482
1.771
1.291
0.000000
1.03
4.85
1.00
1.06
0.98
3.03
3.00
8.95
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)
7j

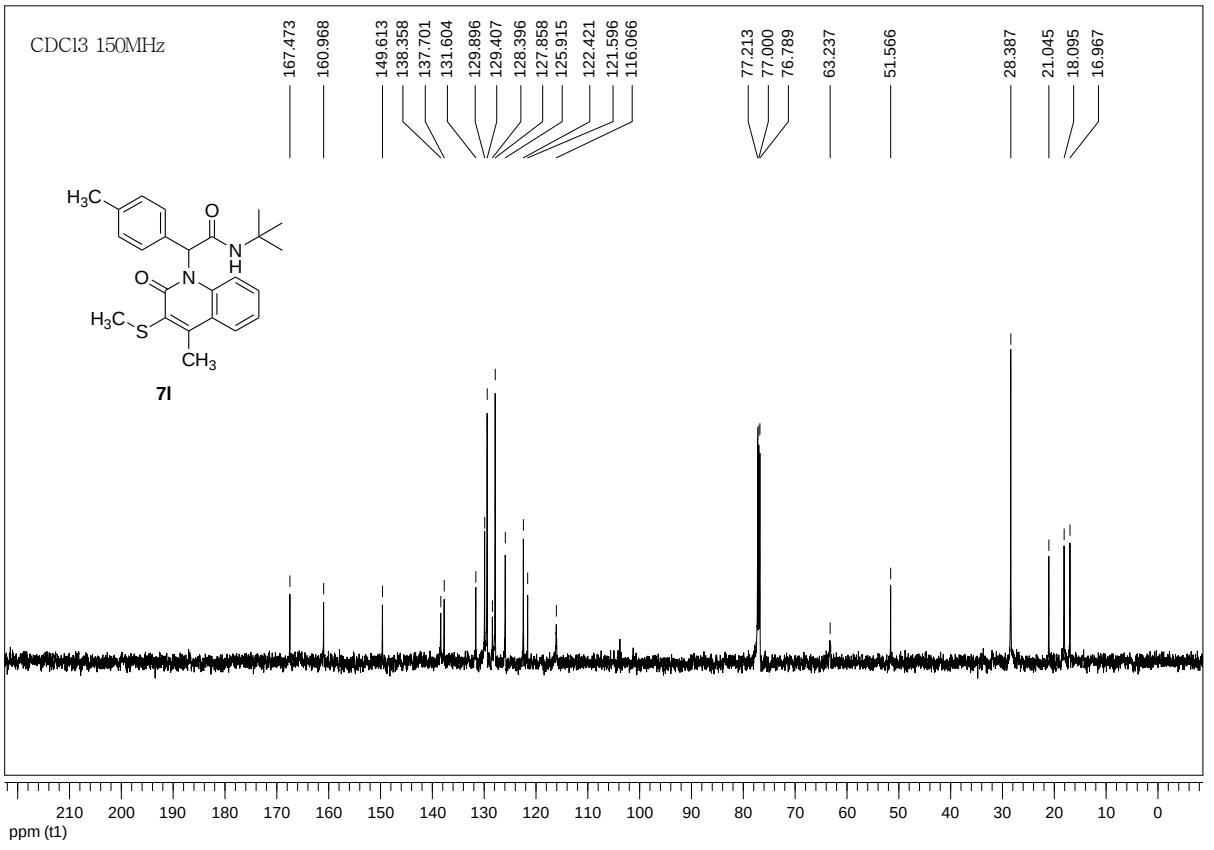

CDCl3 150MHz
166.678
160.472
150.066
137.231
136.405
129.975
127.925
127.191
126.291
126.129
126.106
122.572
121.572
115.583
77.212
77.000
76.788
57.998
51.691
29.291
18.087
17.081
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)
7j


CDCl3 600MHz
7.861
7.463
7.459
7.456
7.322
7.317
7.315
7.310
7.308
7.047
7.045
7.044
6.941
6.938
5.876
2.779
2.496
1.760
1.304
0.00000
1.04
5.98
0.94
3.00
3.04
9.05
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)
7k

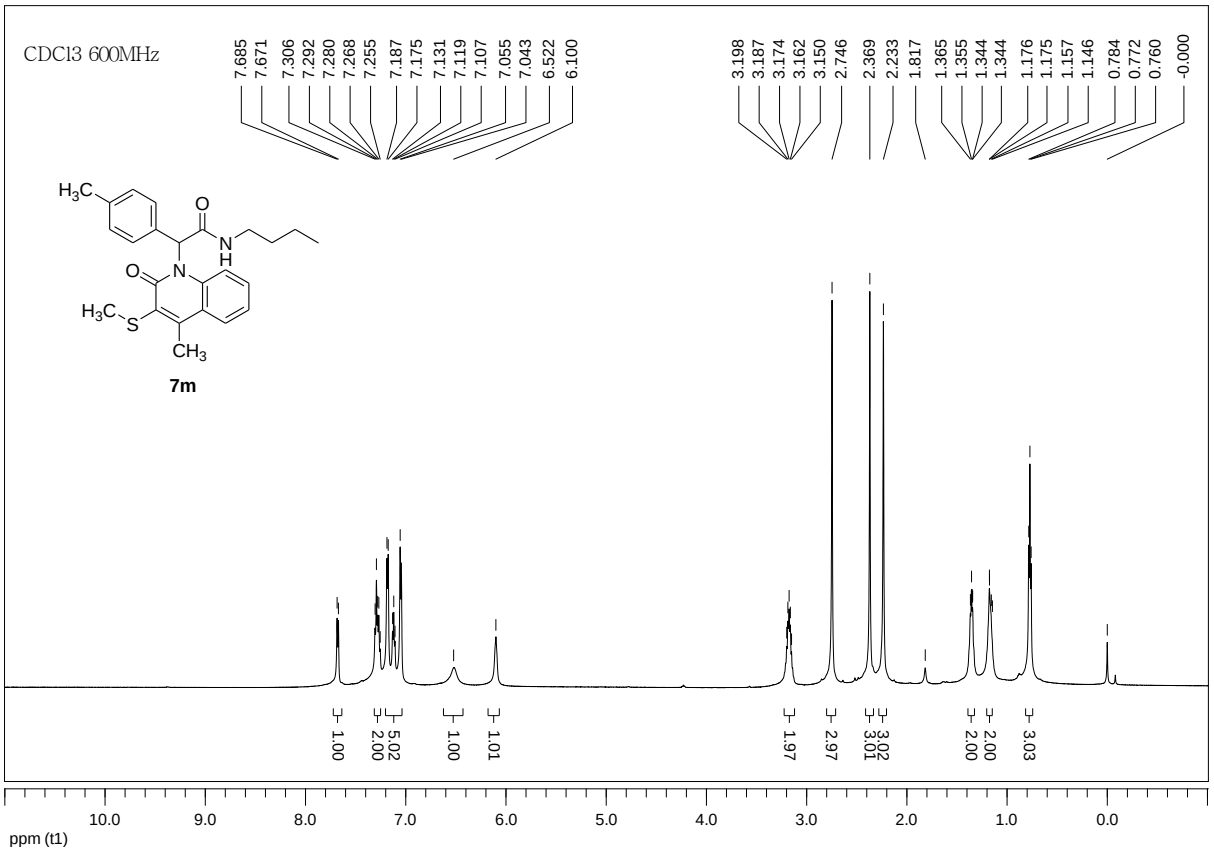

CDCl3 150MHz
166.395
160.200
148.587
136.211
136.125
132.508
129.505
128.492
128.161
127.392
126.323
123.236
117.428
115.654
77.212
77.000
76.788
58.004
51.857
28.351
18.138
17.095
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)
7k

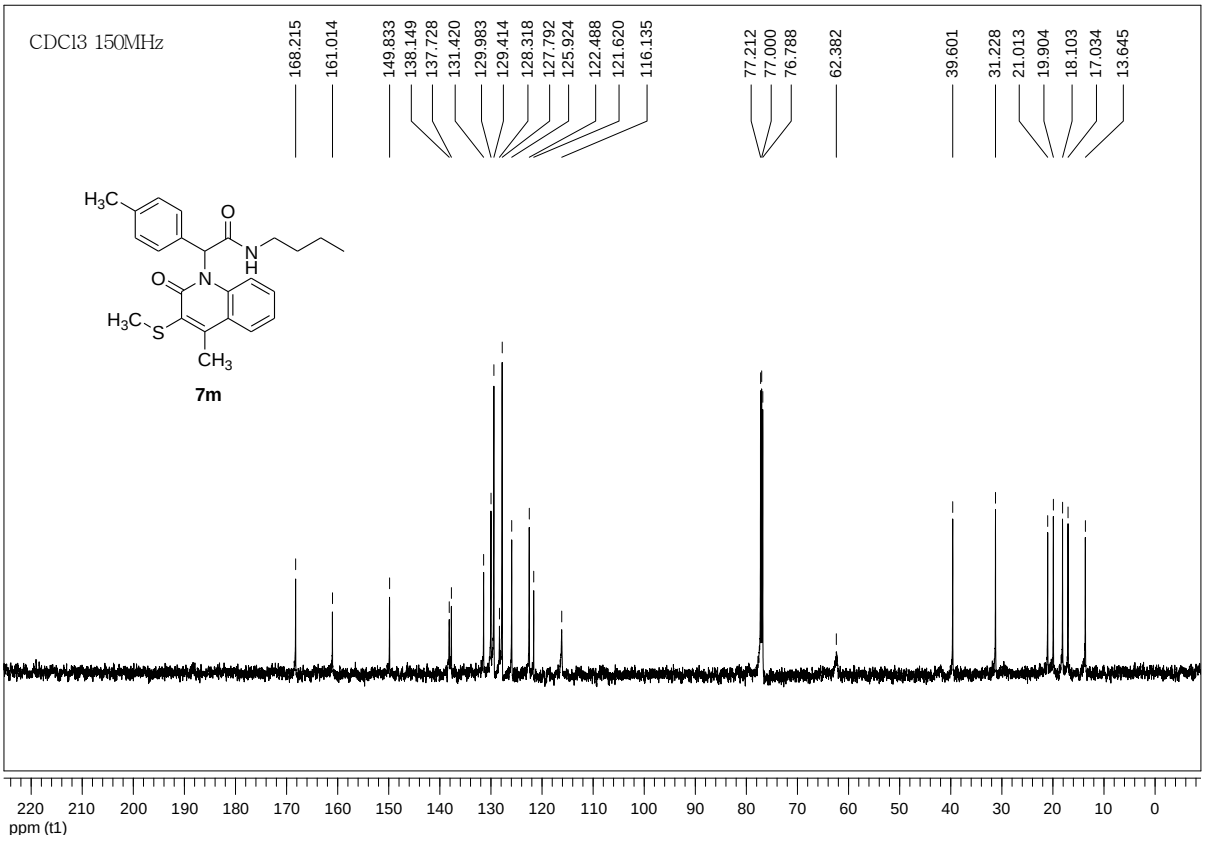

CDCl3 600MHz
7.762
7.749
7.352
7.260
7.248
7.202
7.196
7.132
7.120
6.491
5.924
2.822
2.452
2.313
1.805
1.300
-0.000
1.00
7.02
1.02
0.98
3.09
2.96
3.01
9.05
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

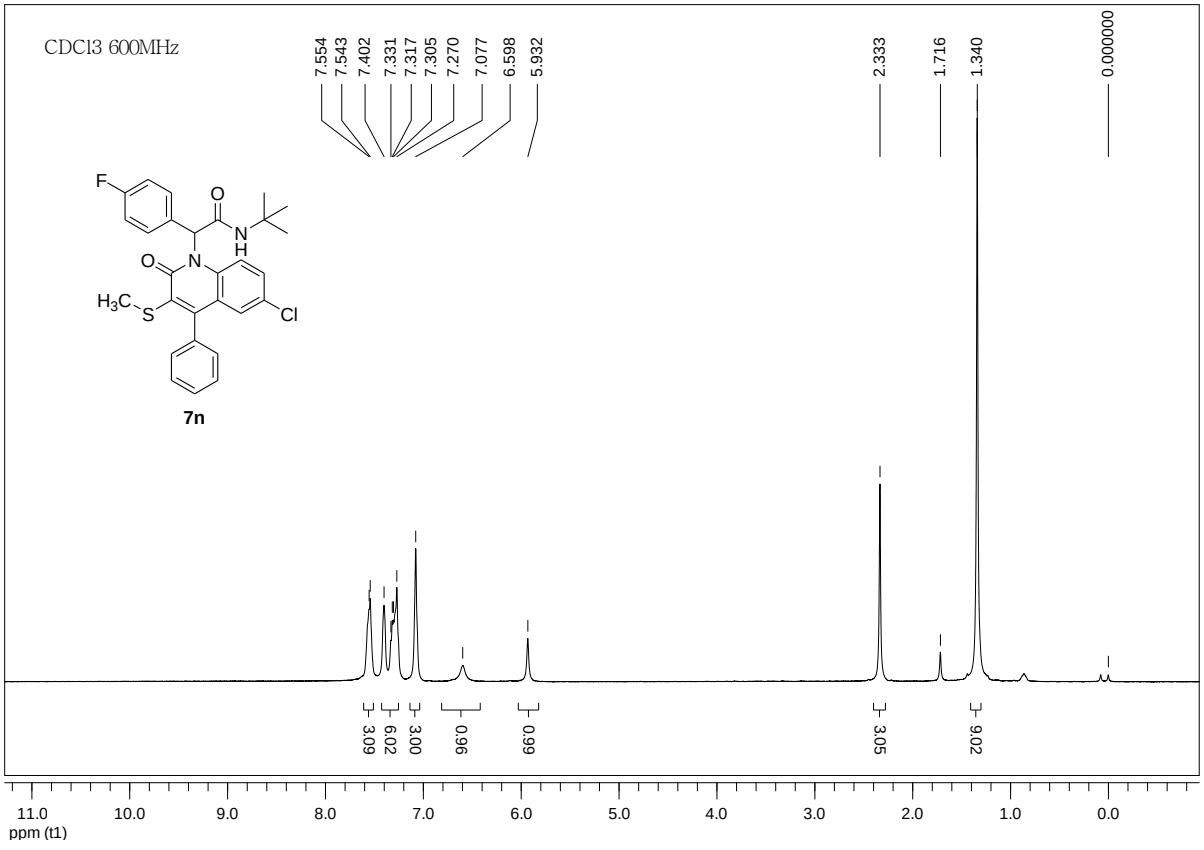
7l

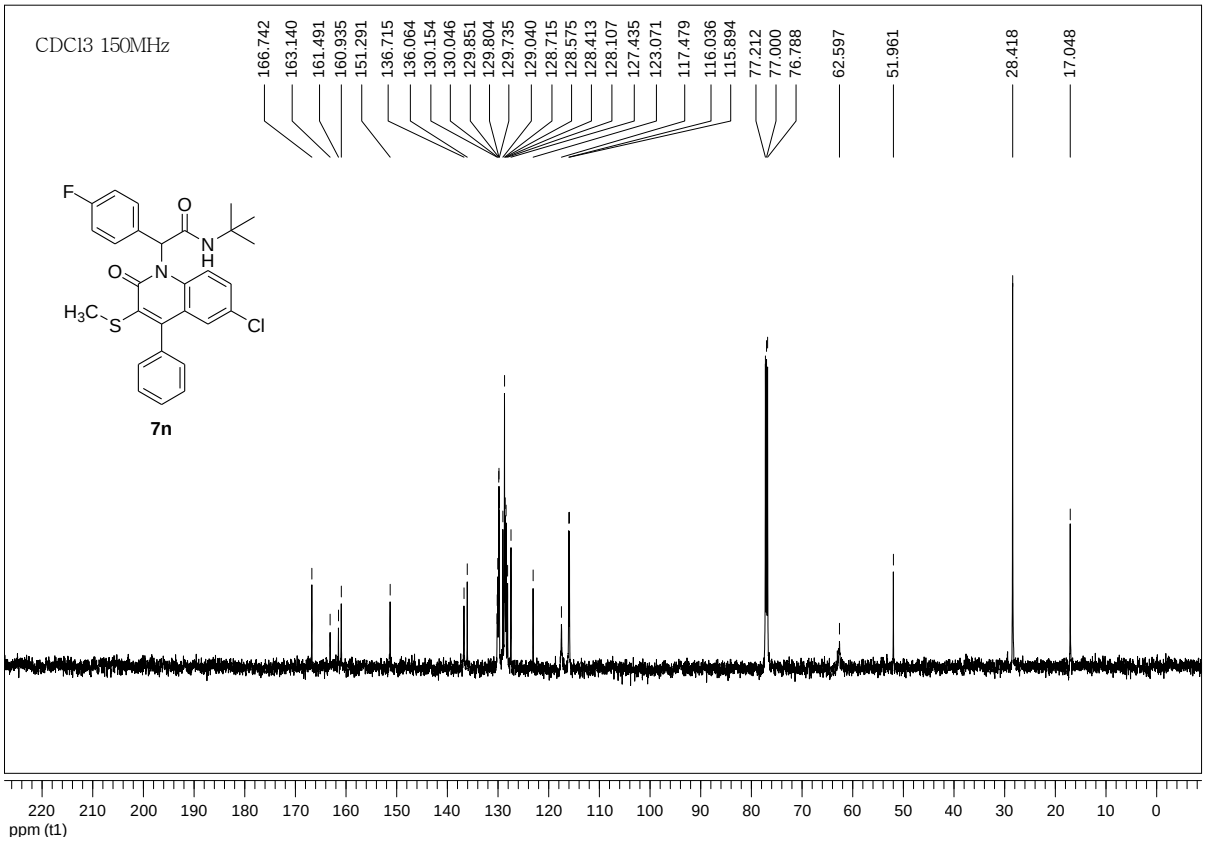

CDCl3 150MHz
167.473
160.968
149.613
138.358
137.701
131.604
129.896
129.407
128.396
127.858
125.915
122.421
121.596
116.066
77.213
77.000
76.789
63.237
51.566
28.387
21.045
18.095
16.967
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

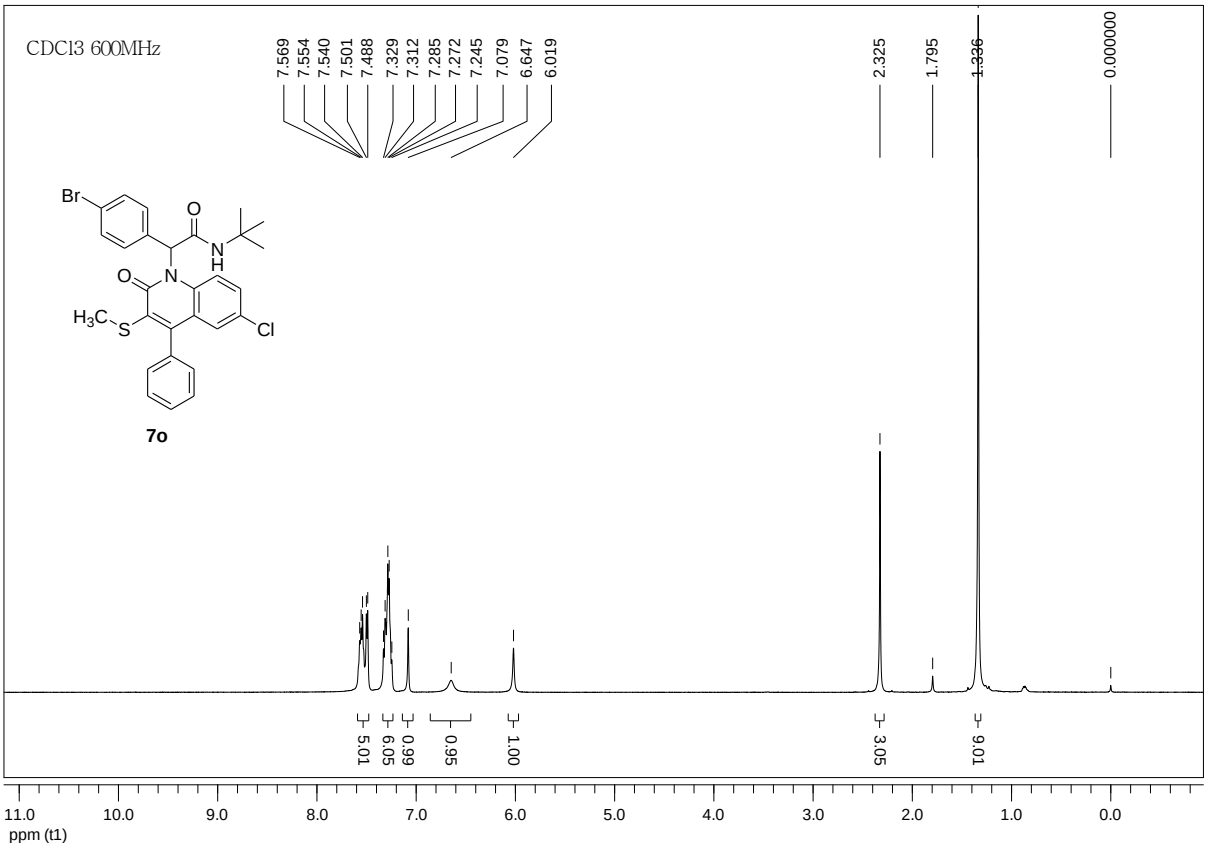
7l

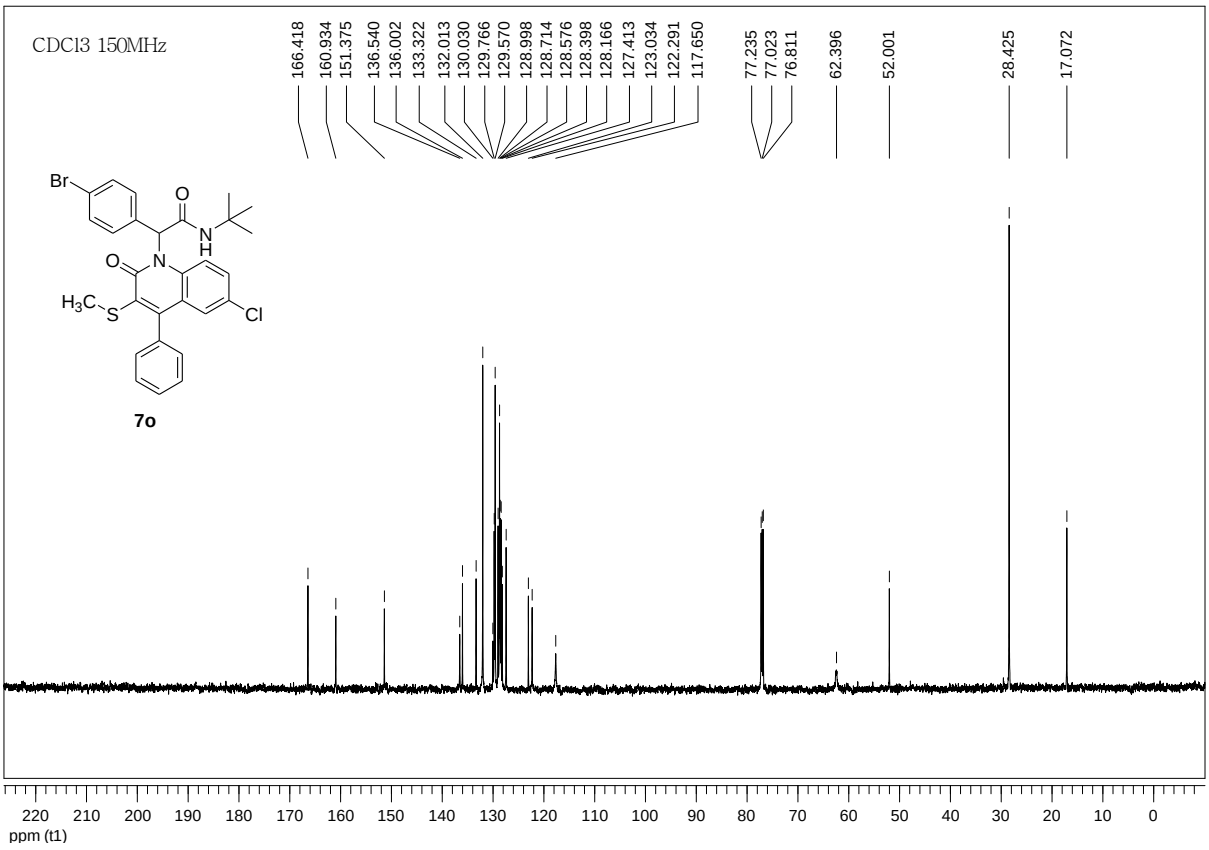

CDCl3 600MHz
7.685
7.671
7.306
7.292
7.280
7.268
7.255
7.187
7.175
7.131
7.119
7.107
7.055
7.043
6.522
6.100
3.198
3.187
3.174
3.162
3.150
2.746
2.369
2.233
1.817
1.365
1.355
1.344
1.344
1.176
1.175
1.157
1.146
0.784
0.772
0.760
-0.000
7m
1.00
2.00
5.02
1.00
1.01
1.97
2.97
3.01
3.02
2.00
2.00
3.03
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

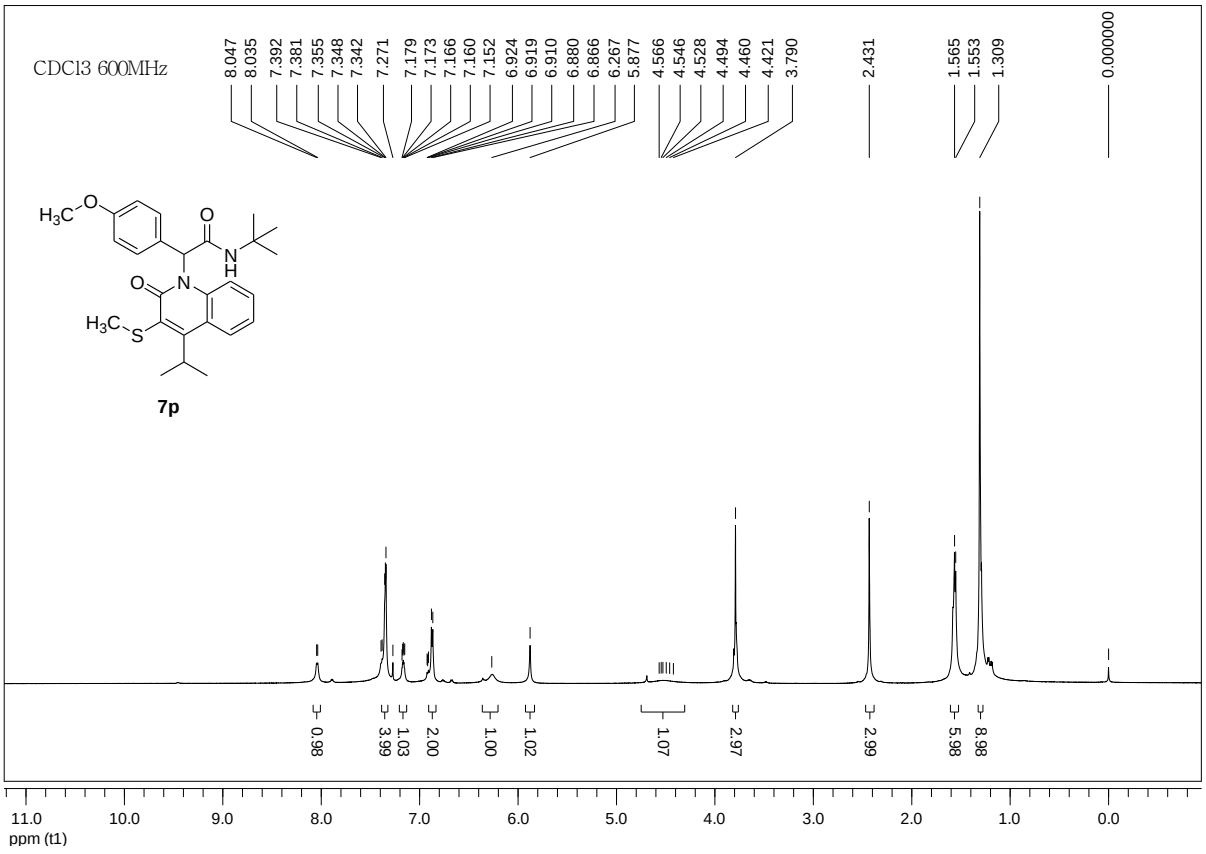

CDCl3 150MHz
168.215
161.014
149.833
138.149
137.728
131.420
129.983
129.414
128.318
127.792
125.924
122.488
121.620
116.135
77.212
77.000
76.788
62.382
39.601
31.228
21.013
19.904
18.103
17.034
13.645
7m
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

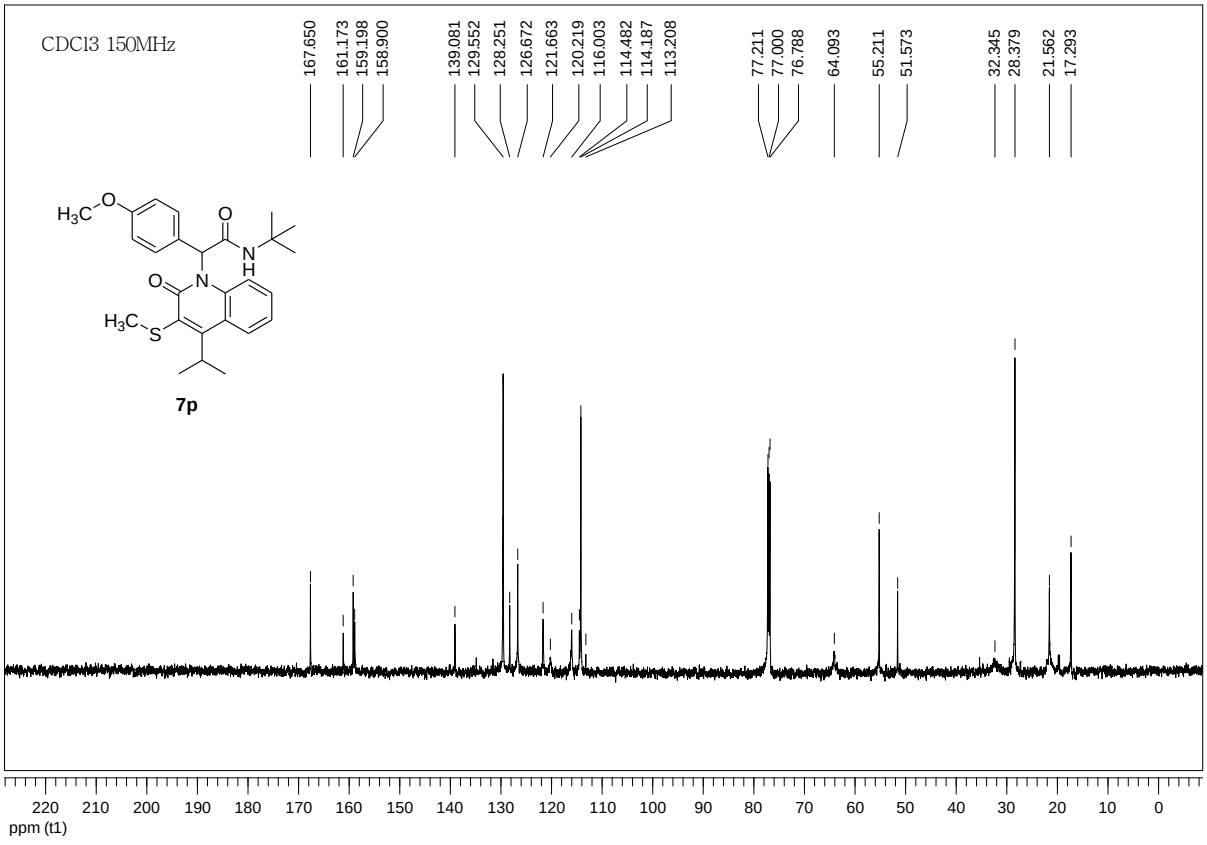

CDCl3 600MHz
7.554
7.543
7.402
7.331
7.317
7.305
7.270
7.077
6.598
5.932
2.333
1.716
1.340
0.000000
F
O
N
H
O
N
H3C
S
Cl
7n
3.09
6.02
3.00
0.96
0.99
3.05
9.02
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

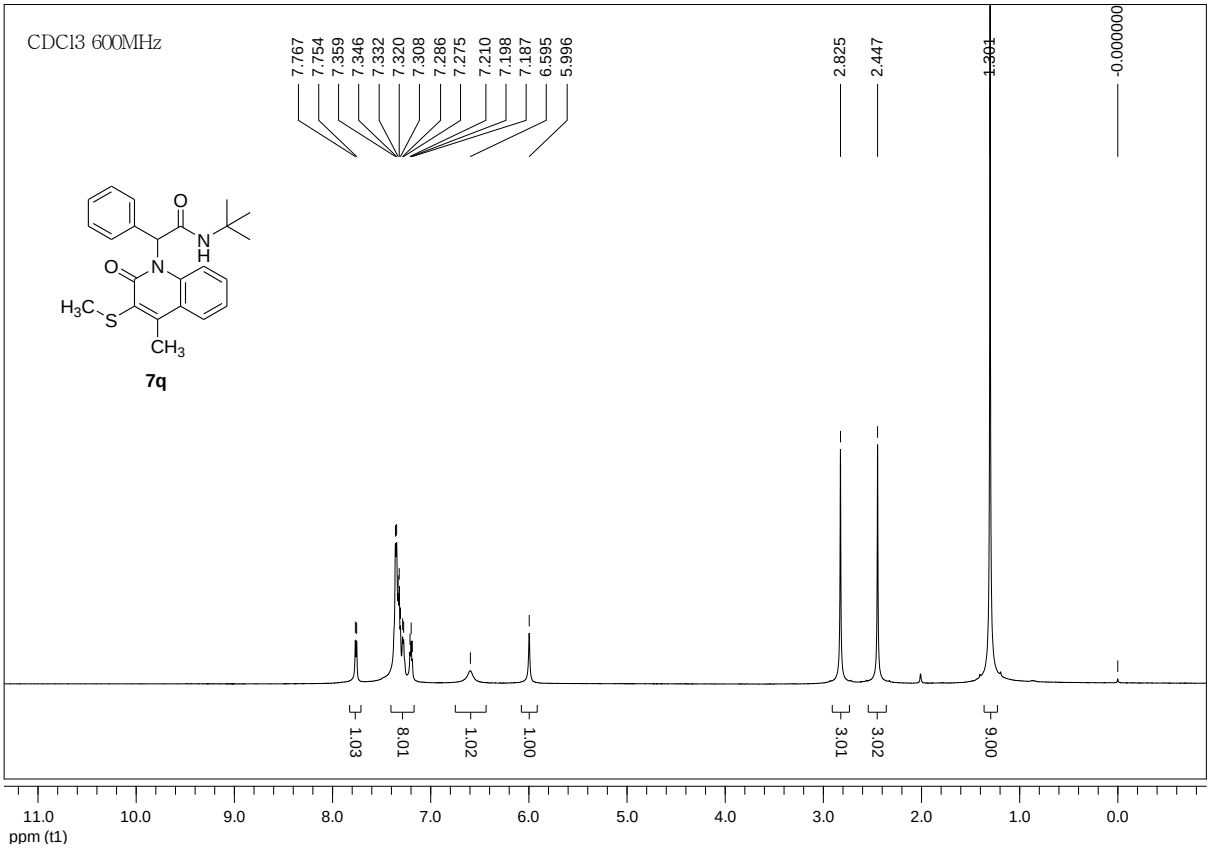

CDCl3 150MHz
166.742
163.140
161.491
160.935
151.291
136.715
136.064
130.154
130.046
129.851
129.804
129.735
129.040
128.715
128.575
128.413
128.107
127.435
123.071
117.479
116.036
115.894
77.212
77.000
76.788
62.597
51.961
28.418
17.048
F
O
N
H
O
N
H3C
S
Cl
7n
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

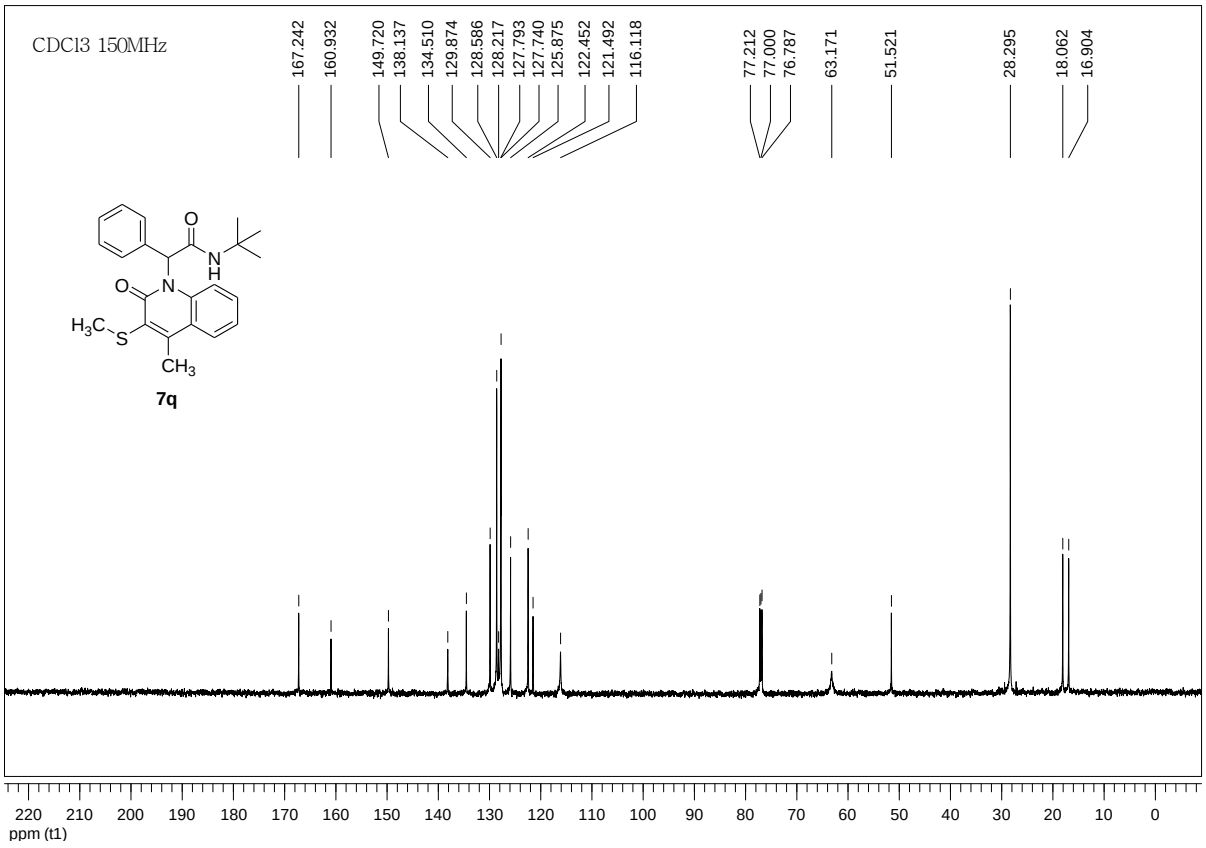

CDCl3 600MHz
7.569
7.554
7.540
7.501
7.488
7.329
7.312
7.285
7.272
7.245
7.079
6.647
6.019
2.325
1.795
1.336
0.000000
Br
O
N
H
O
N
H3C
S
Cl
7o
5.01
6.05
0.99
0.95
1.00
3.05
9.01
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

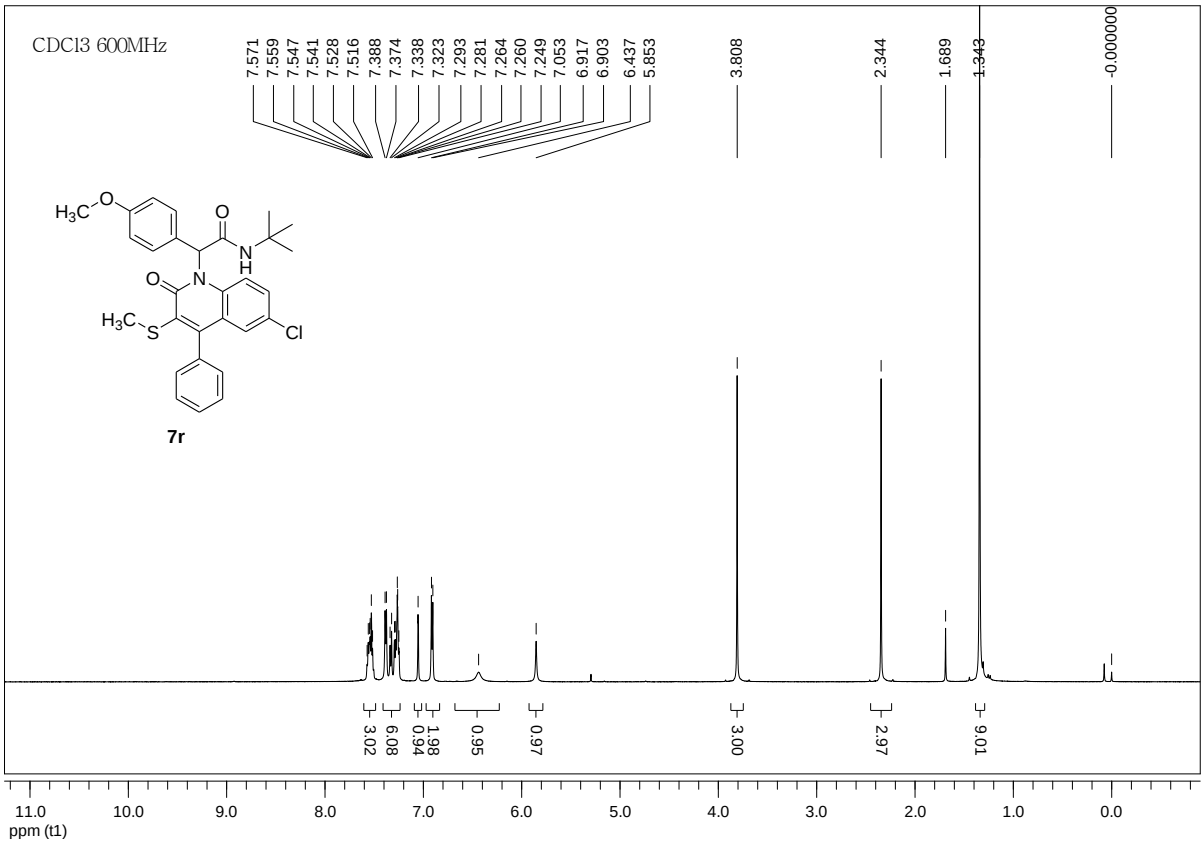

CDCl3 150MHz
166.418
160.934
151.375
136.540
136.002
133.322
132.013
130.030
129.766
129.570
128.998
128.714
128.576
128.398
128.166
127.413
123.034
122.291
117.650
77.235
77.023
76.811
62.396
52.001
28.425
17.072
Br
O
N
H
O
N
H3C
S
Cl
7o
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)


CDCl3 600MHz
8.047
8.035
7.392
7.381
7.355
7.348
7.342
7.271
7.179
7.173
7.166
7.160
7.152
6.924
6.919
6.910
6.880
6.866
6.267
5.877
4.566
4.546
4.528
4.494
4.460
4.421
3.790
2.431
1.565
1.553
1.309
0.000000
7p
0.98
3.69
3.03
2.00
1.00
1.02
1.07
2.97
2.99
5.98
8.98
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

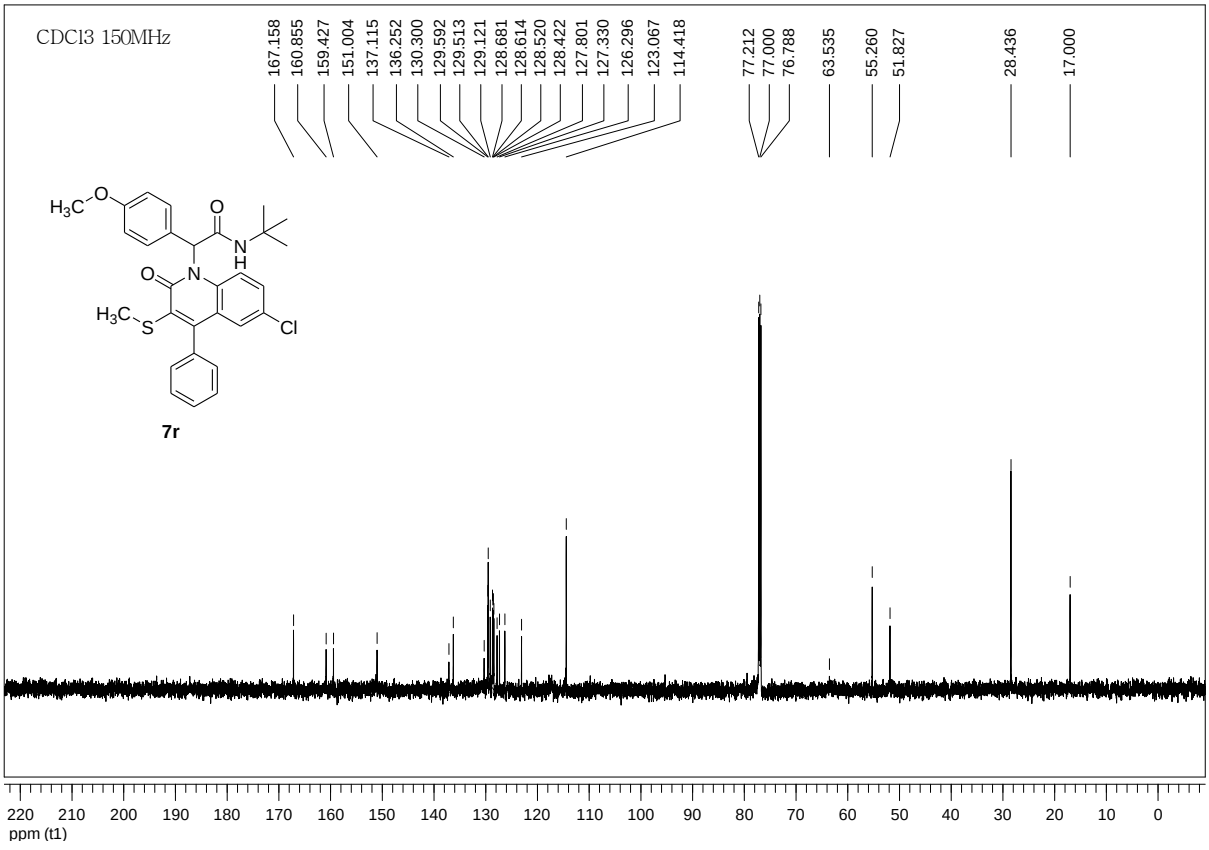

CDCl3 150MHz
167.650
161.173
159.198
158.900
139.081
129.552
128.251
126.672
121.663
120.219
116.003
114.482
114.187
113.208
77.211
77.000
76.788
64.093
55.211
51.573
32.345
28.379
21.562
17.293
7p
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)


CDCl3 600MHz
7.767
7.754
7.359
7.346
7.332
7.320
7.308
7.286
7.275
7.210
7.198
7.187
6.595
5.996
2.825
2.447
1.301
-0.000000
7q
1.03
8.01
1.02
1.00
3.01
3.02
9.00
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

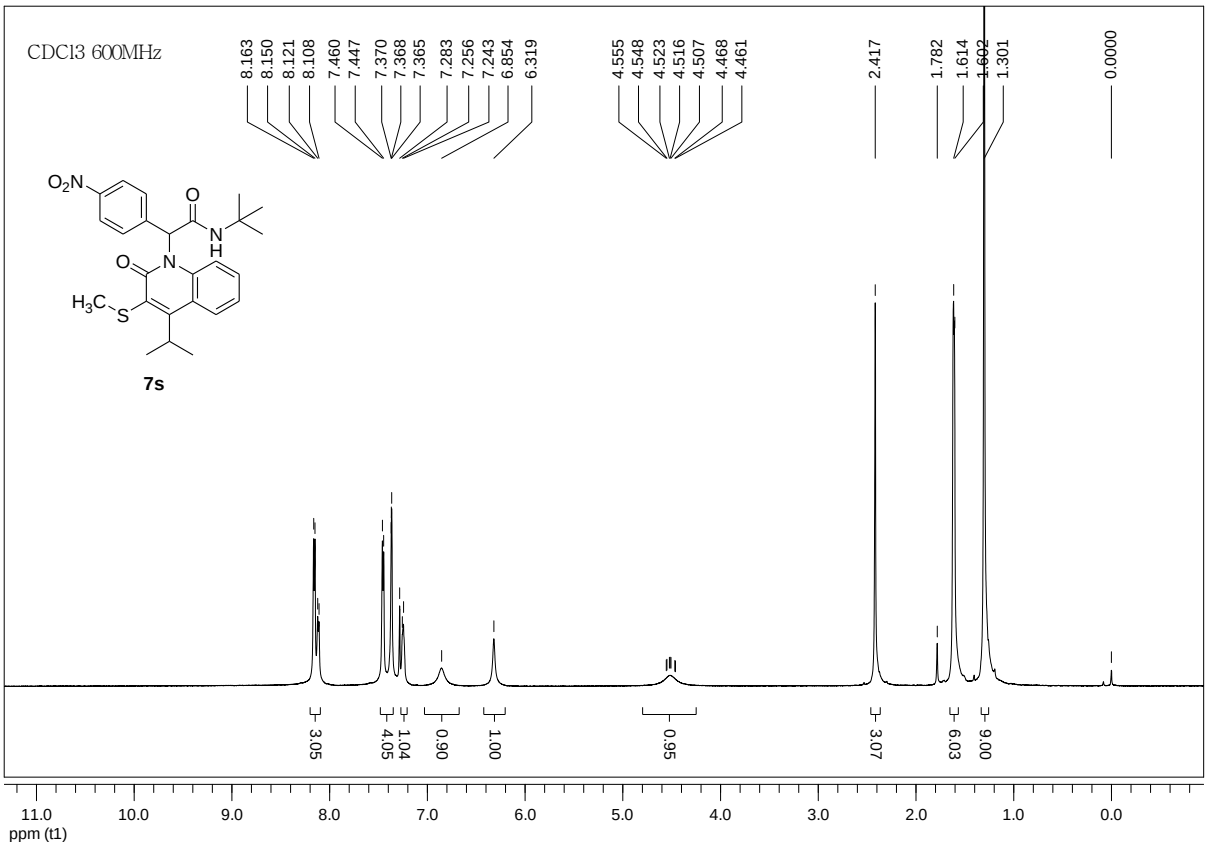

CDCl3 150MHz
167.242
160.932
149.720
138.137
134.510
129.874
128.586
128.217
127.793
127.740
125.875
122.452
121.492
116.118
77.212
77.000
76.787
63.171
51.521
28.295
18.062
16.904
7q
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)


CDCl3 600MHz
7.571
7.559
7.547
7.541
7.528
7.516
7.388
7.374
7.338
7.323
7.293
7.281
7.264
7.260
7.249
7.053
6.917
6.903
6.437
5.853
3.808
2.344
1.689
1.343
-0.000000
3.02
6.08
0.94
1.98
0.95
0.97
3.00
2.97
9.01
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

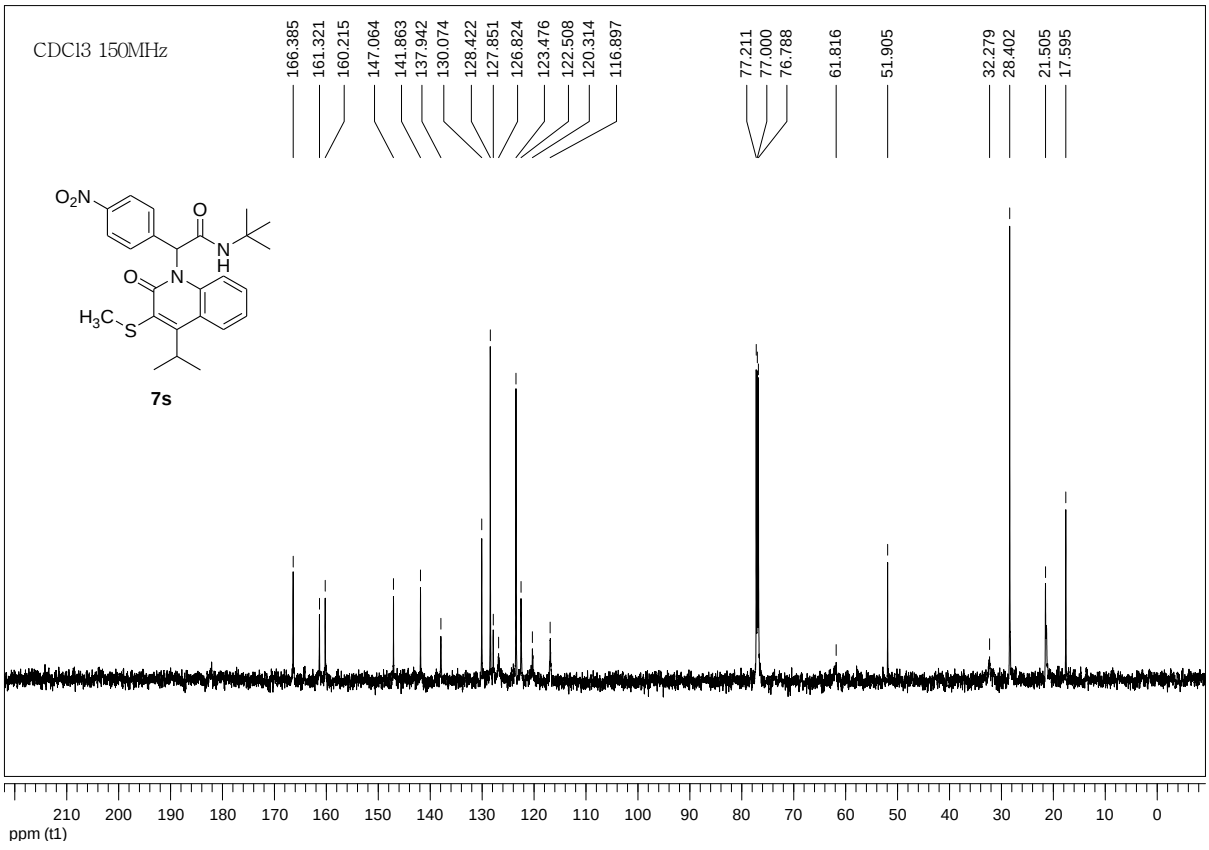
7r


CDCl3 150MHz
167.158
160.855
159.427
151.004
137.115
136.252
130.300
129.592
129.513
129.121
128.681
128.614
128.520
128.422
127.801
127.330
126.296
123.067
114.418
77.212
77.000
76.788
63.535
55.260
51.827
28.436
17.000
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

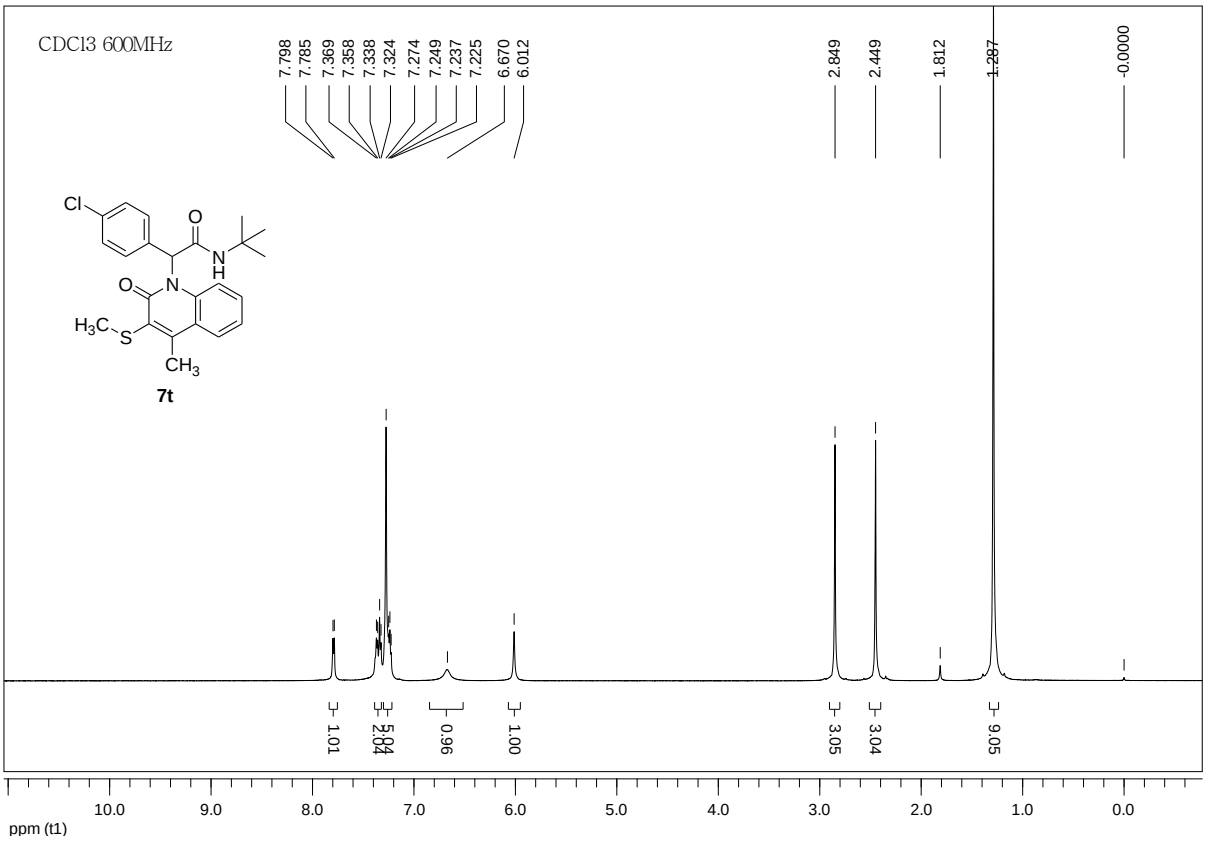
7r

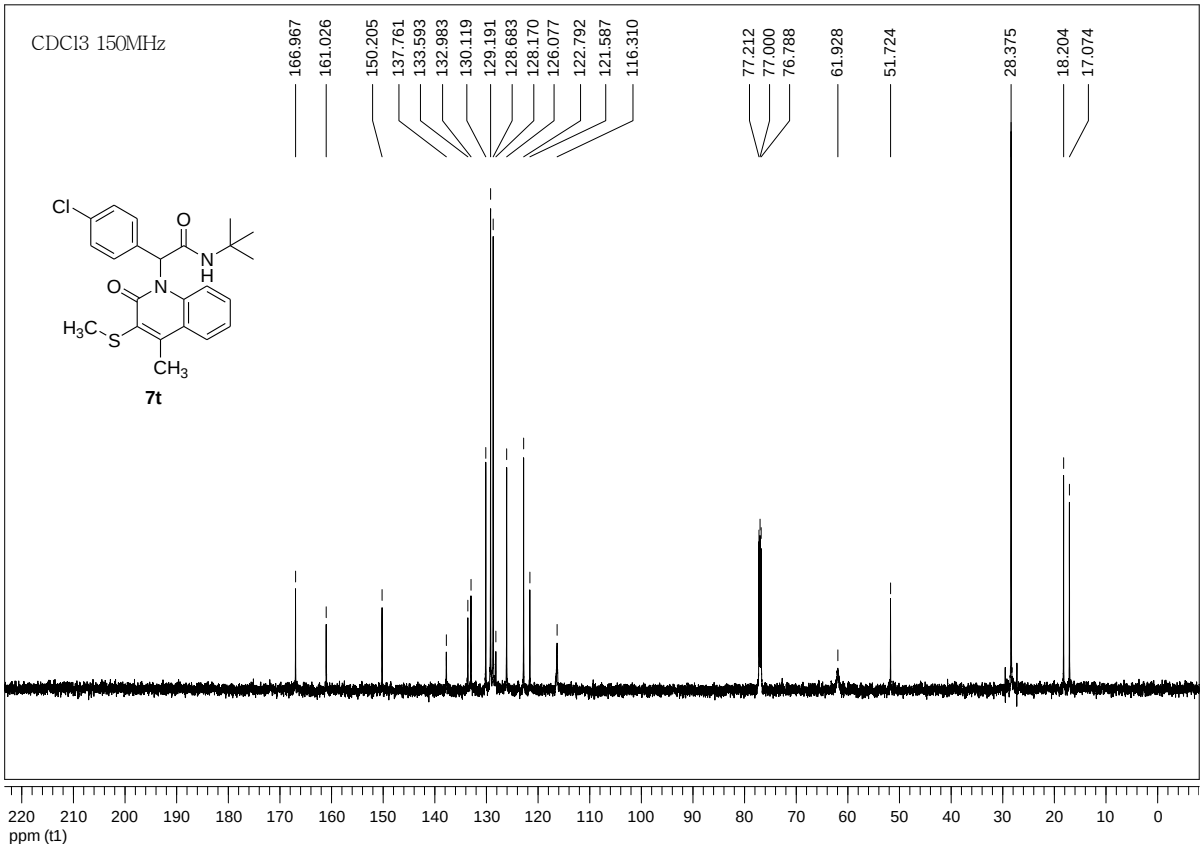

CDCl3 600MHz
8.163
8.150
8.121
8.108
7.460
7.447
7.370
7.368
7.365
7.283
7.256
7.243
6.854
6.319
4.555
4.548
4.523
4.516
4.507
4.468
4.461
2.417
1.782
1.614
1.602
1.301
0.0000
3.05
4.05
1.04
0.90
1.00
0.95
3.07
6.03
9.00
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

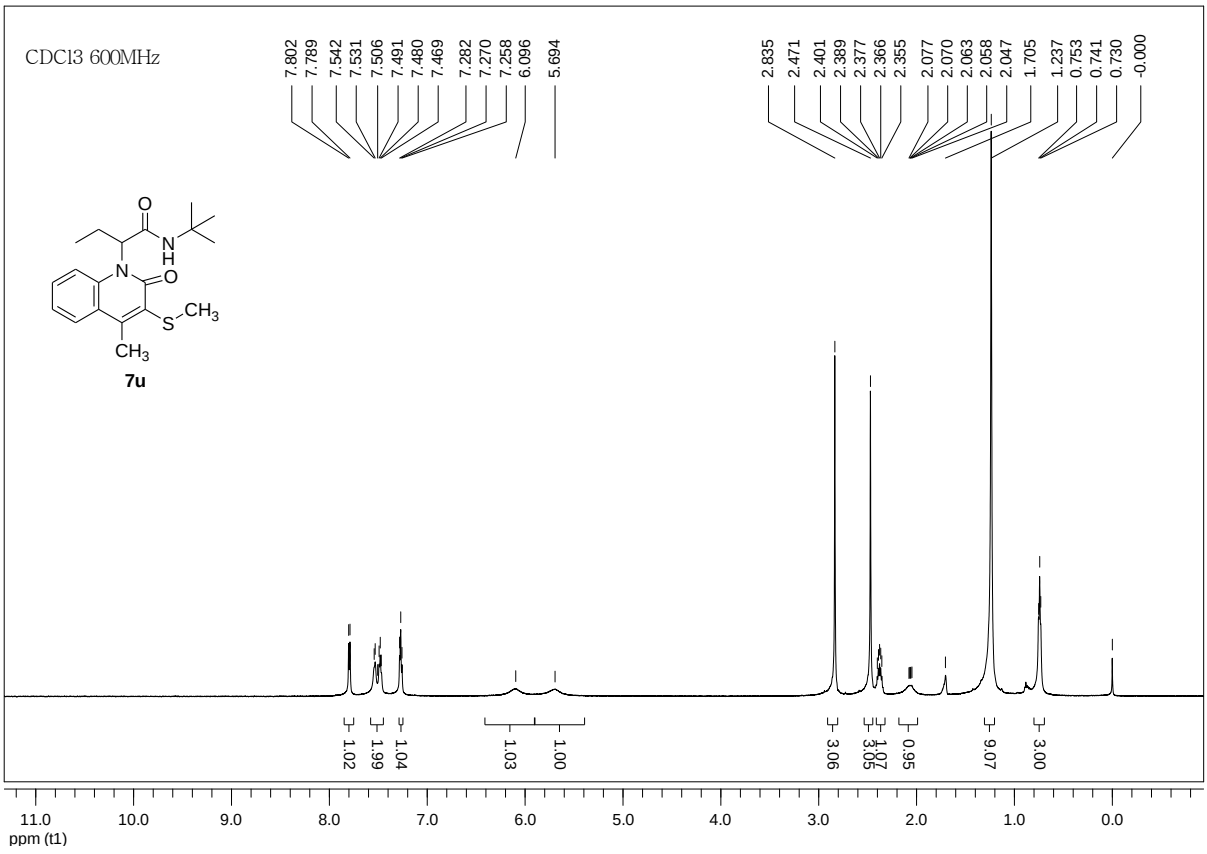
7s

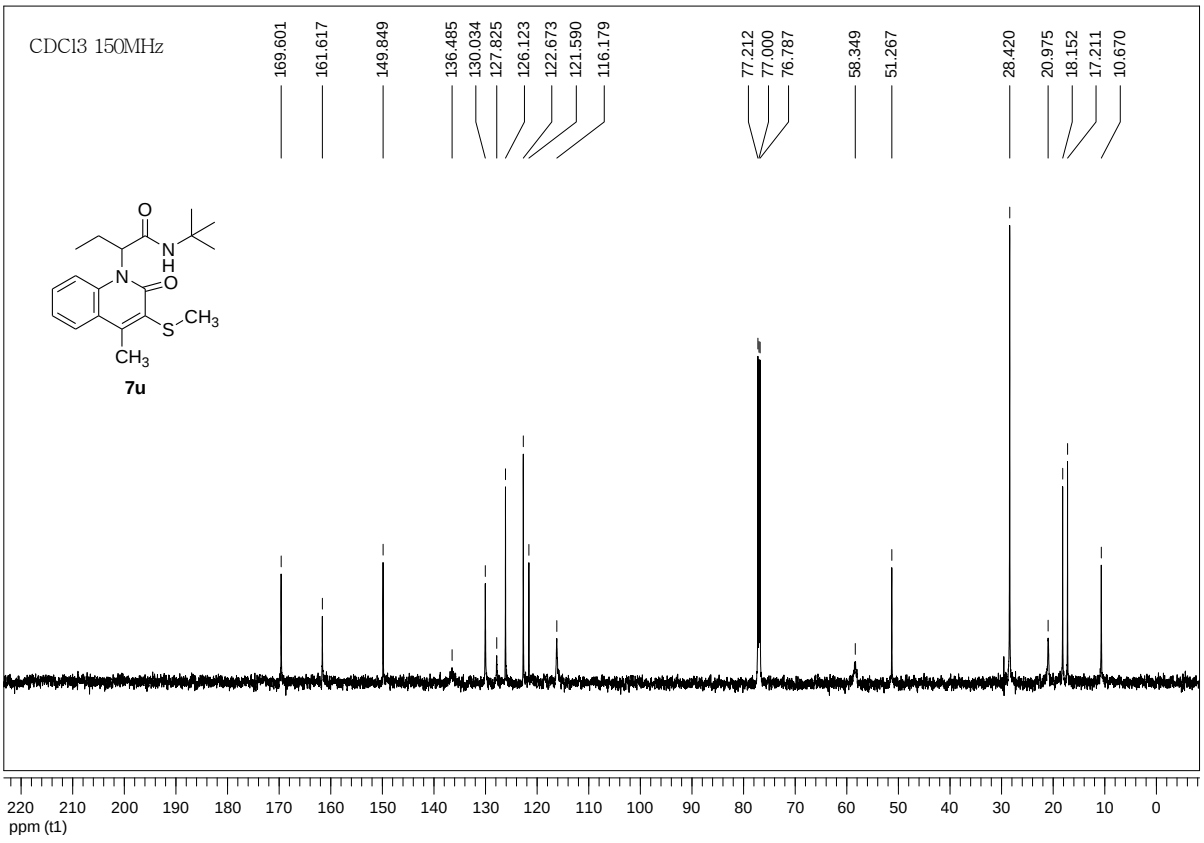

CDCl3 150MHz
166.385
161.321
160.215
147.064
141.863
137.942
130.074
128.422
127.851
126.824
123.476
122.508
120.314
116.897
77.211
77.000
76.788
61.816
51.905
32.279
28.402
21.505
17.595
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

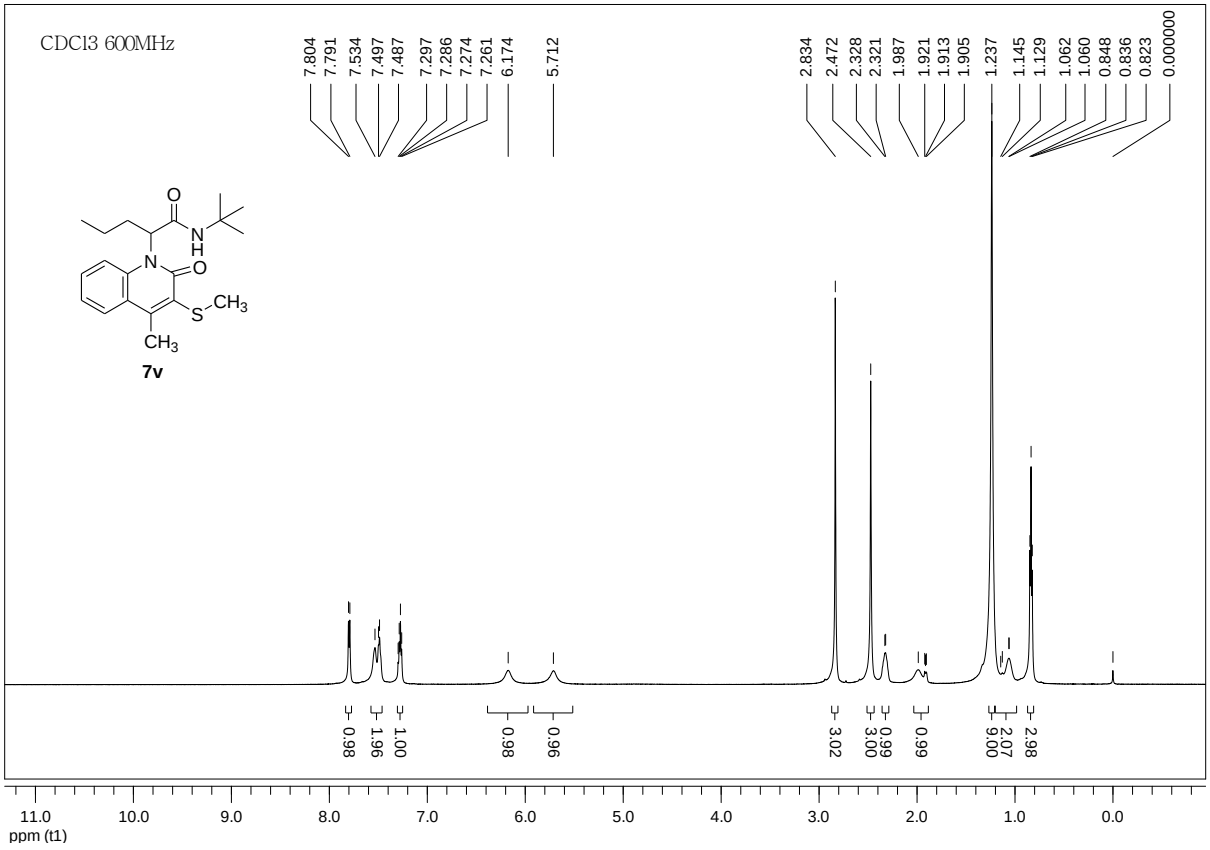
7s

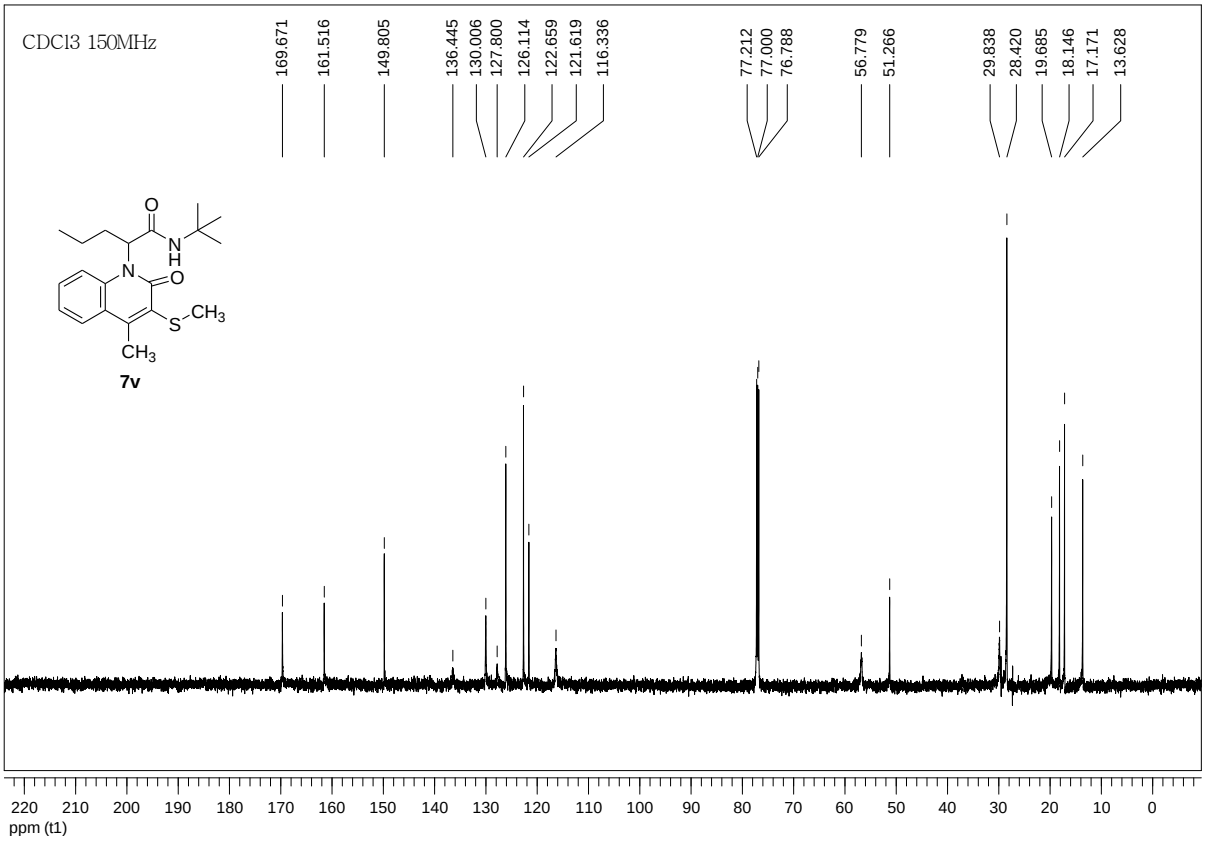

CDCl3 600MHz
7.798
7.785
7.369
7.358
7.338
7.324
7.274
7.249
7.237
7.225
6.670
6.012
2.849
2.449
1.812
1.287
-0.0000
1.01
2.04
0.96
1.00
3.05
3.04
9.05
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)
7t

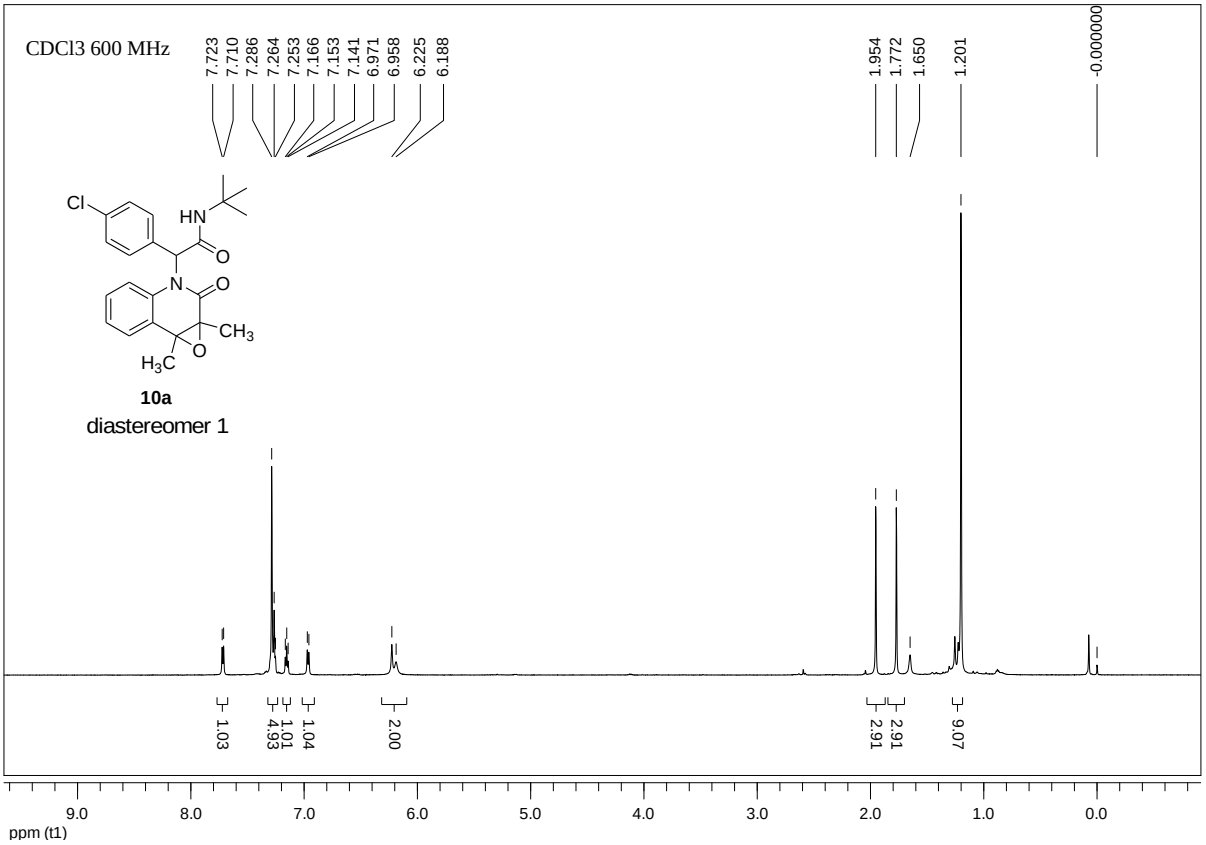

CDCl3 150MHz
166.967
161.026
150.205
137.761
133.593
132.983
130.119
129.191
128.683
128.170
126.077
122.792
121.587
116.310
77.212
77.000
76.788
61.928
51.724
28.375
18.204
17.074
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)
7t

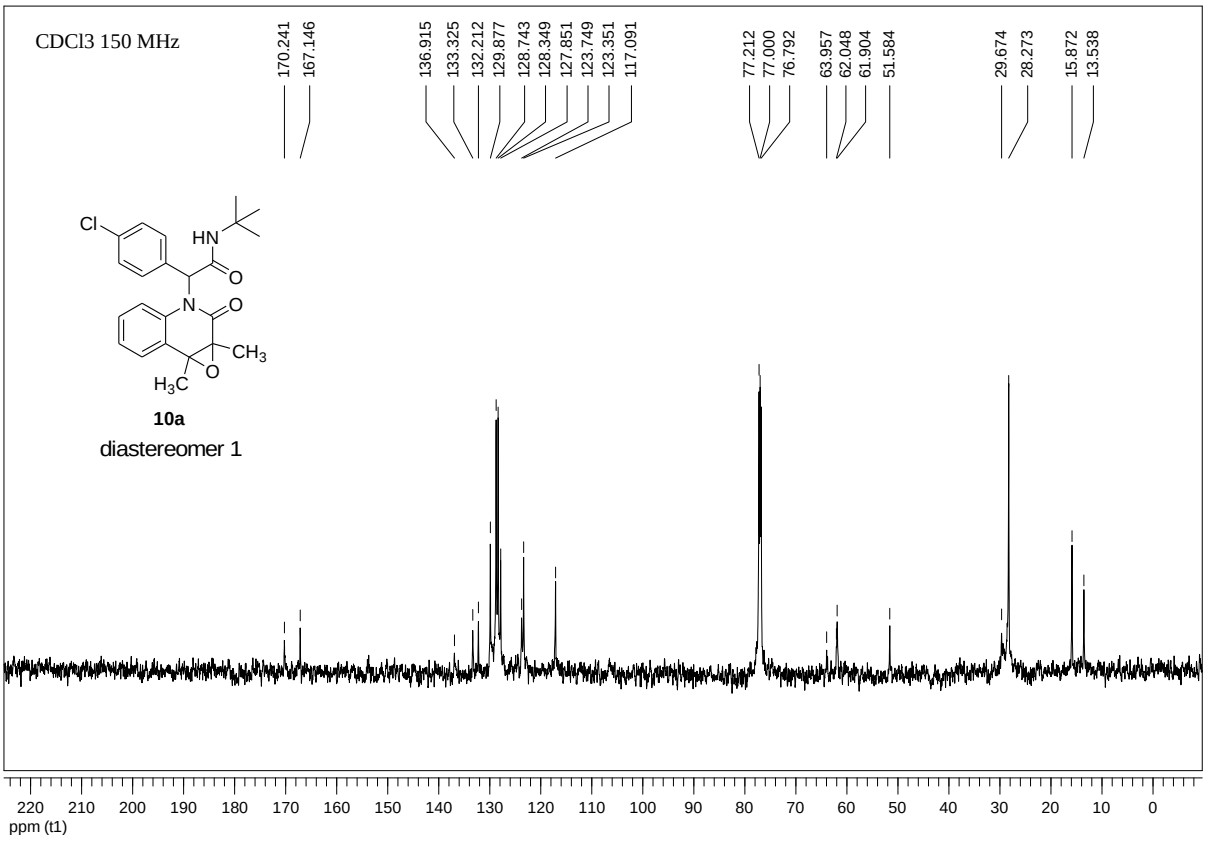

CDCl3 600MHz
7.802
7.789
7.542
7.531
7.506
7.491
7.480
7.469
7.282
7.270
7.258
6.096
5.694
2.835
2.471
2.401
2.389
2.377
2.366
2.355
2.077
2.070
2.063
2.058
2.047
1.705
1.237
0.753
0.741
0.730
-0.000
1.02
1.99
1.04
1.03
1.00
3.06
3.03
1.07
0.95
9.07
3.00
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)
7u

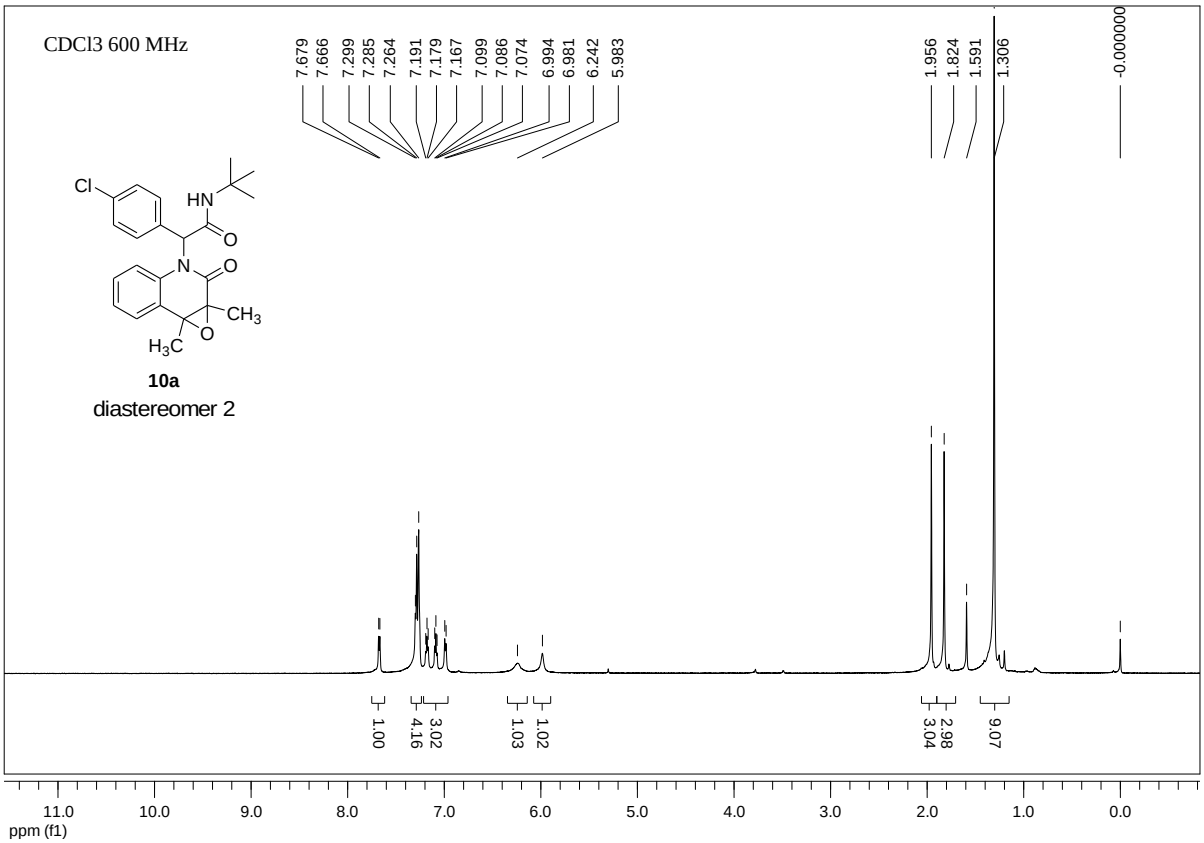

CDCl3 150MHz
169.601
161.617
149.849
136.485
130.034
127.825
126.123
122.673
121.590
116.179
77.212
77.000
76.787
58.349
51.267
28.420
20.975
18.152
17.211
10.670
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)
7u

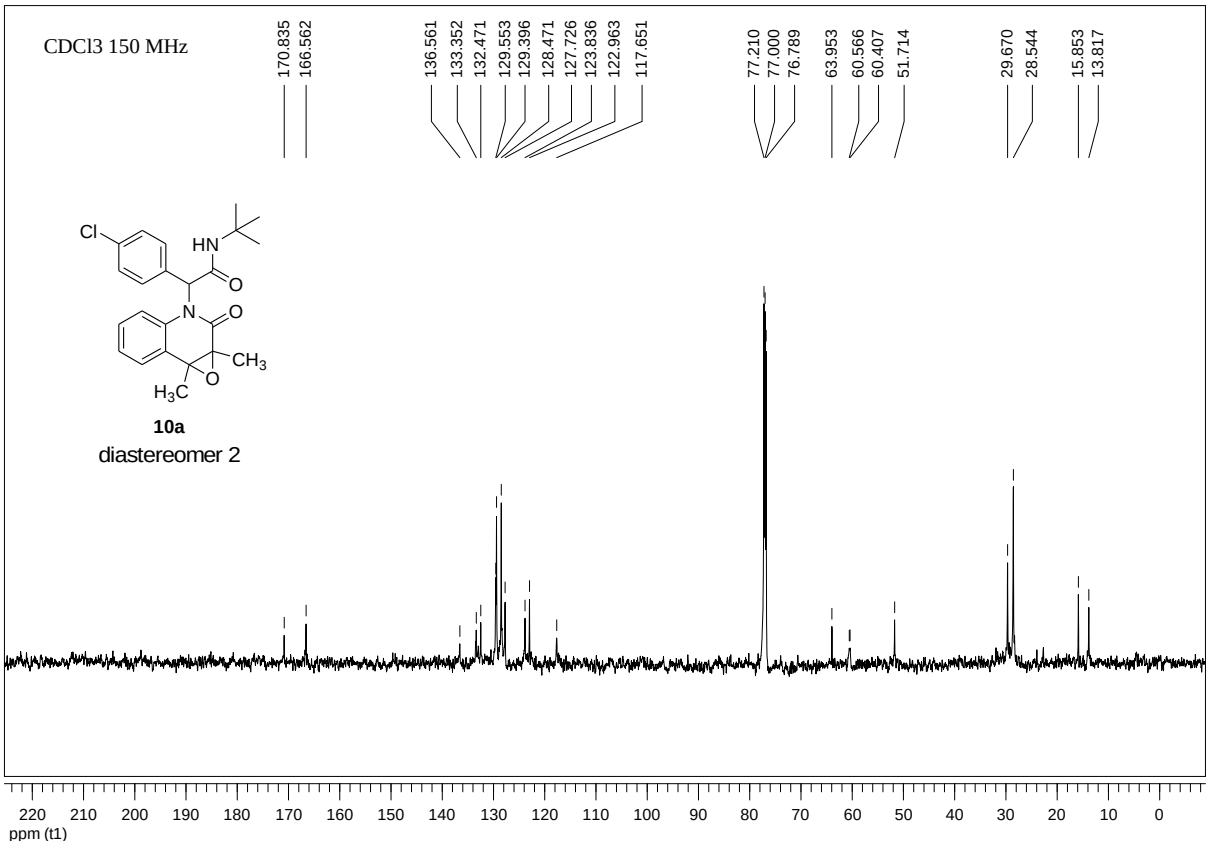

CDCl3 600MHz
7.804
7.791
7.534
7.497
7.487
7.297
7.286
7.274
7.261
6.174
5.712
2.834
2.472
2.328
2.321
1.987
1.921
1.913
1.905
1.237
1.145
1.129
1.062
1.060
0.848
0.836
0.823
0.000000
O
N
H
N
O
S
CH3
CH3
7v
0.98
1.96
1.00
0.98
0.96
3.02
0.99
3.00
0.99
9.00
2.07
2.98
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

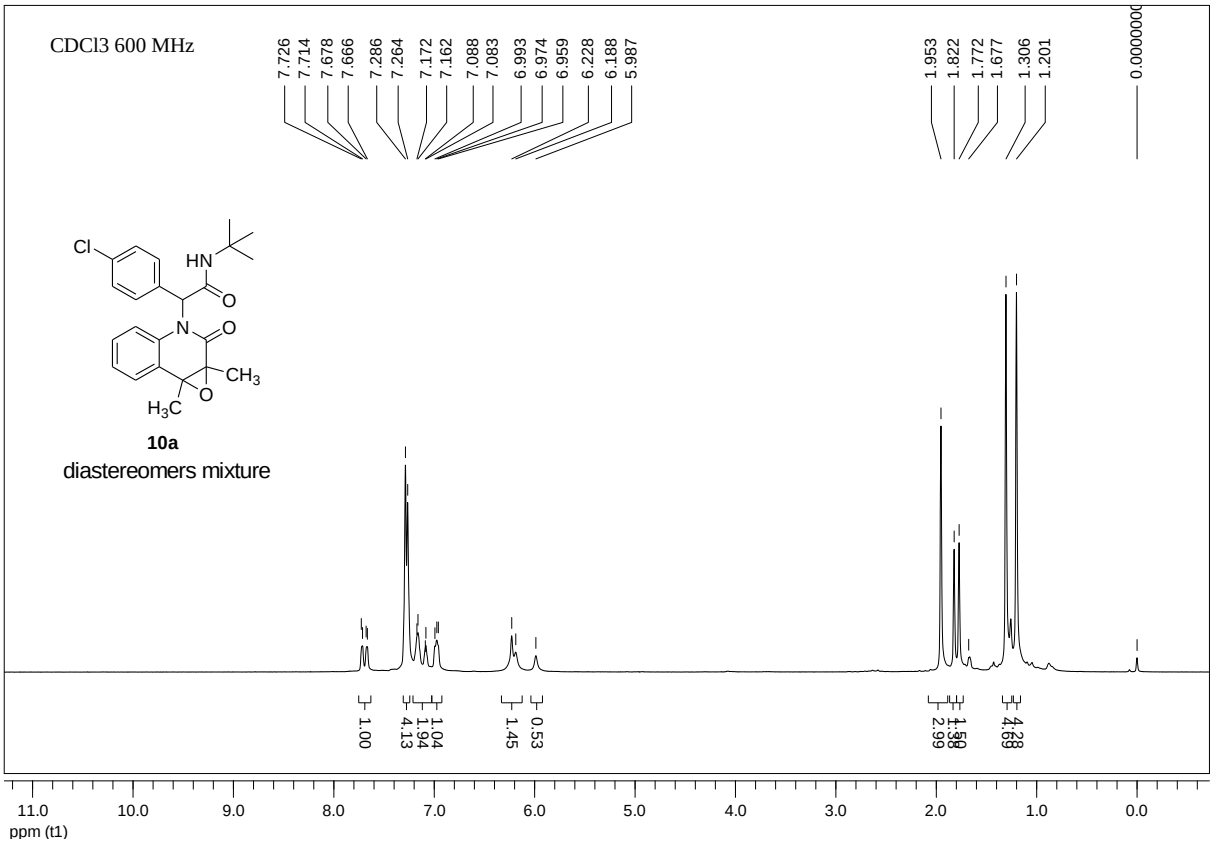

CDCl3 150MHz
169.671
161.516
149.805
136.445
130.006
127.800
126.114
122.659
121.619
116.336
77.212
77.000
76.788
56.779
51.266
29.838
28.420
19.685
18.146
17.171
13.628
O
N
H
N
O
S
CH3
CH3
7v
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

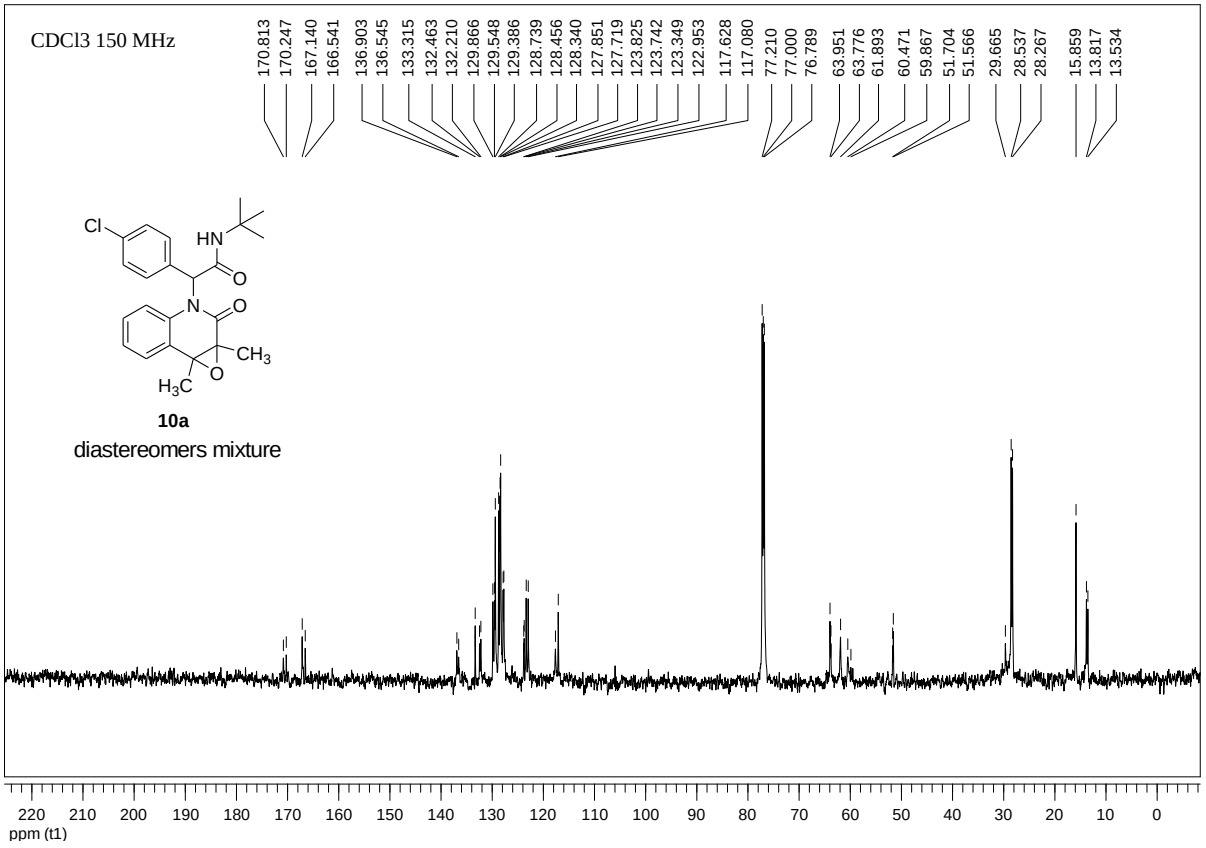

CDCl3 600 MHz
7.723
7.710
7.286
7.264
7.253
7.166
7.153
7.141
6.971
6.958
6.225
6.188
1.954
1.772
1.650
1.201
-0.000000
Cl
HN
O
N
O
CH3
H3C
O
10a
diastereomer 1
1.03
4.93
1.01
1.04
2.00
2.91
2.91
9.07
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

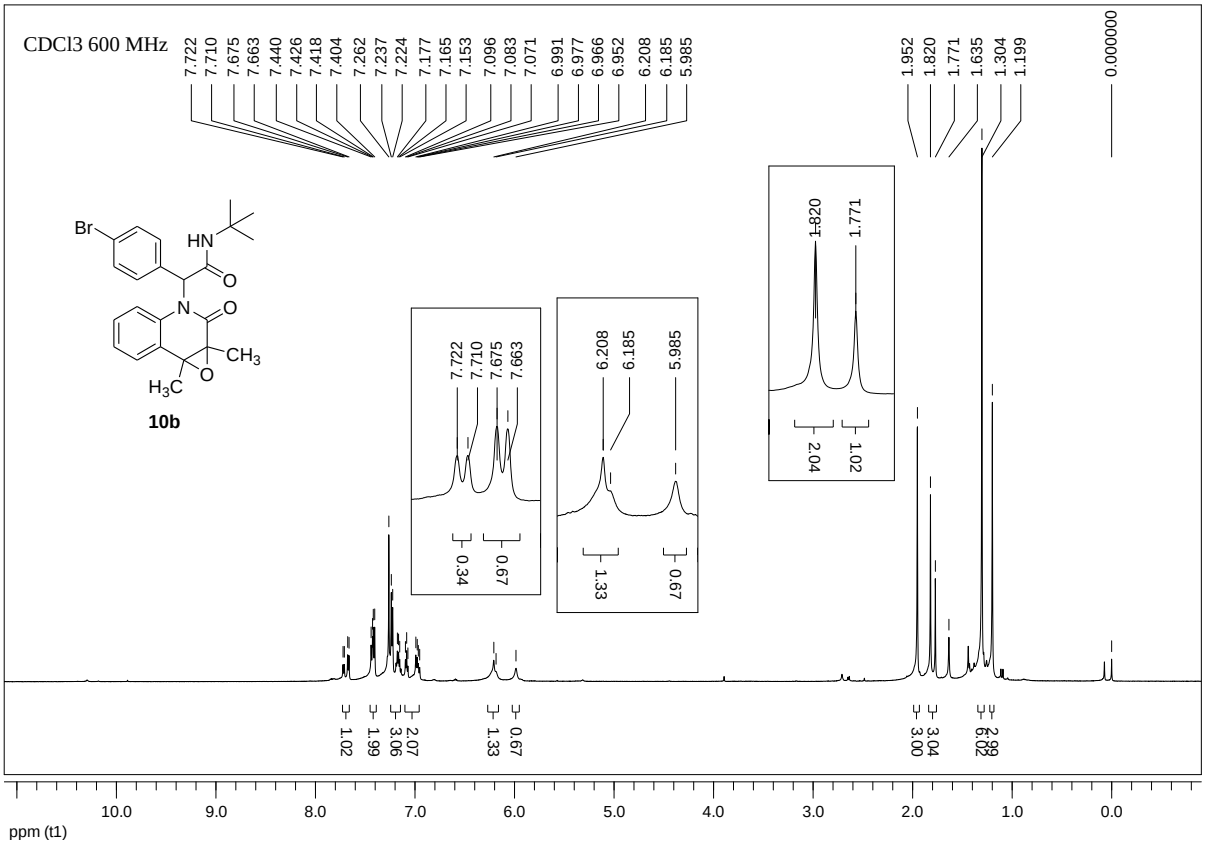

CDCl3 150 MHz
170.241
167.146
136.915
133.325
132.212
129.877
128.743
128.349
127.851
123.749
123.351
117.091
77.212
77.000
76.792
63.957
62.048
61.904
51.584
29.674
28.273
15.872
13.538
Cl
HN
O
N
O
CH3
H3C
O
10a
diastereomer 1
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

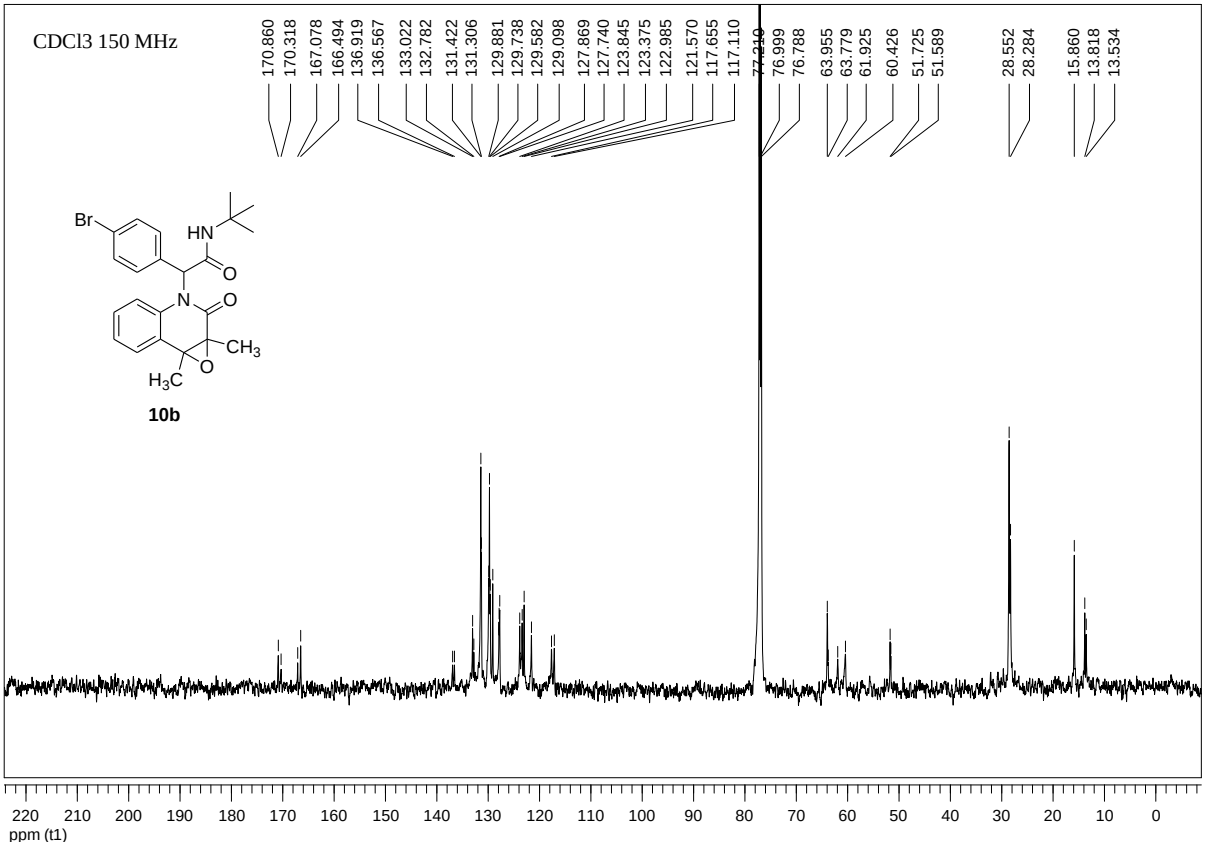

CDCl3 600 MHz
7.679
7.666
7.299
7.285
7.264
7.191
7.179
7.167
7.099
7.086
7.074
6.994
6.981
6.242
5.983
1.956
1.824
1.591
1.306
-0.000000
Cl
HN
O
N
O
CH3
O
H3C
10a
diastereomer 2
1.00
4.16
3.02
1.03
1.02
3.04
2.98
9.07
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (f1)

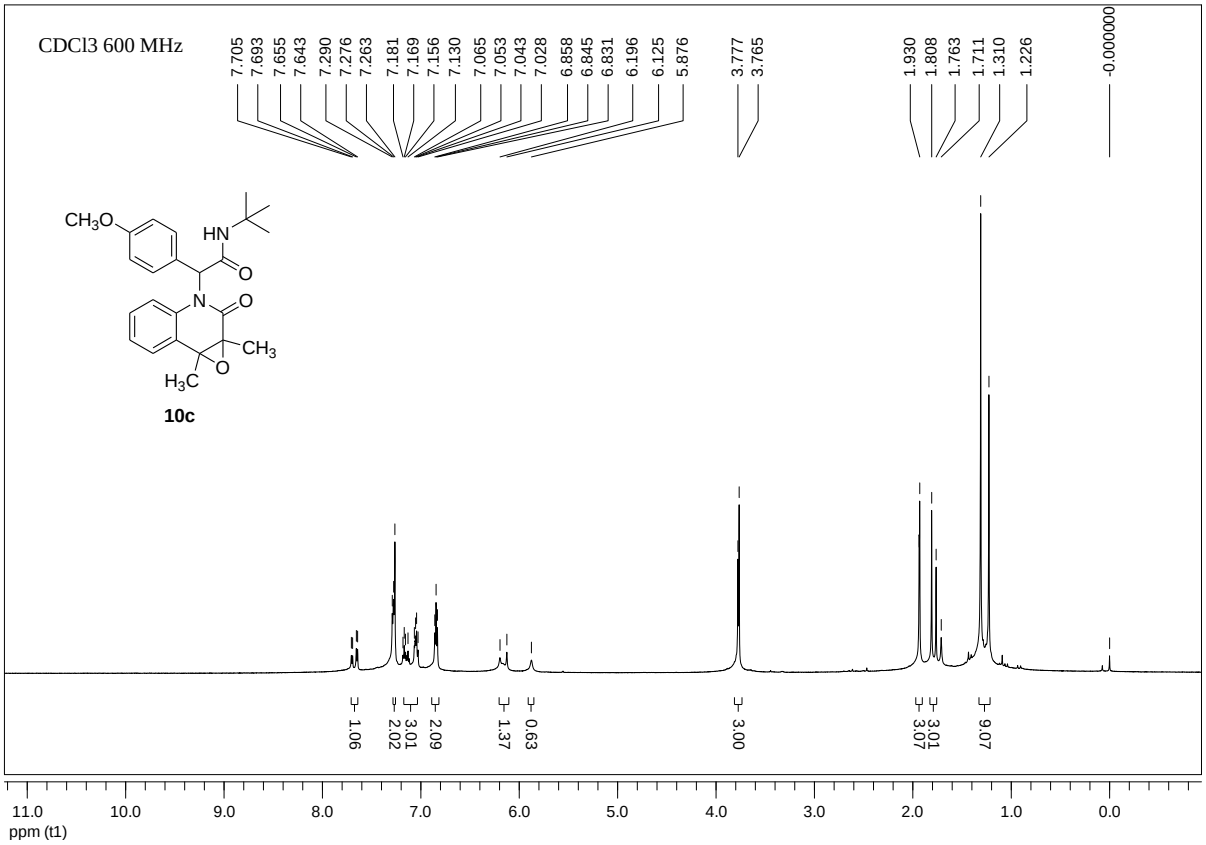

CDCl3 150 MHz
170.835
166.562
136.561
133.352
132.471
129.553
129.396
128.471
127.726
123.836
122.963
117.651
77.210
77.000
76.789
63.953
60.566
60.407
51.714
29.670
28.544
15.853
13.817
Cl
HN
O
N
O
CH3
O
H3C
10a
diastereomer 2
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

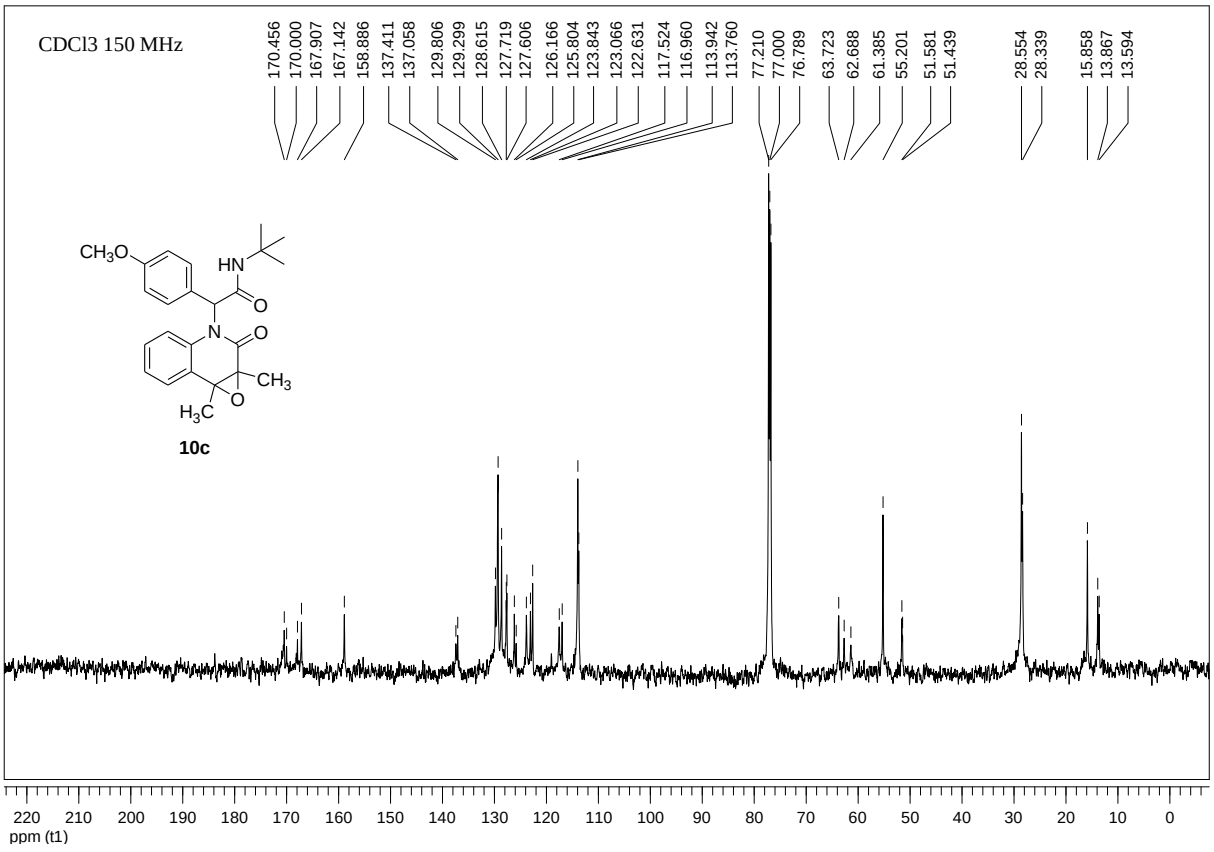

CDCl3 600 MHz
7.726
7.714
7.678
7.666
7.286
7.264
7.172
7.162
7.088
7.083
6.993
6.974
6.959
6.228
6.188
5.987
1.953
1.822
1.772
1.677
1.306
1.201
0.000000
Cl
HN
O
N
O
CH3
O
H3C
10a
diastereomers mixture
1.00
4.13
1.94
1.04
1.45
0.53
2.69
1.58
4.28
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

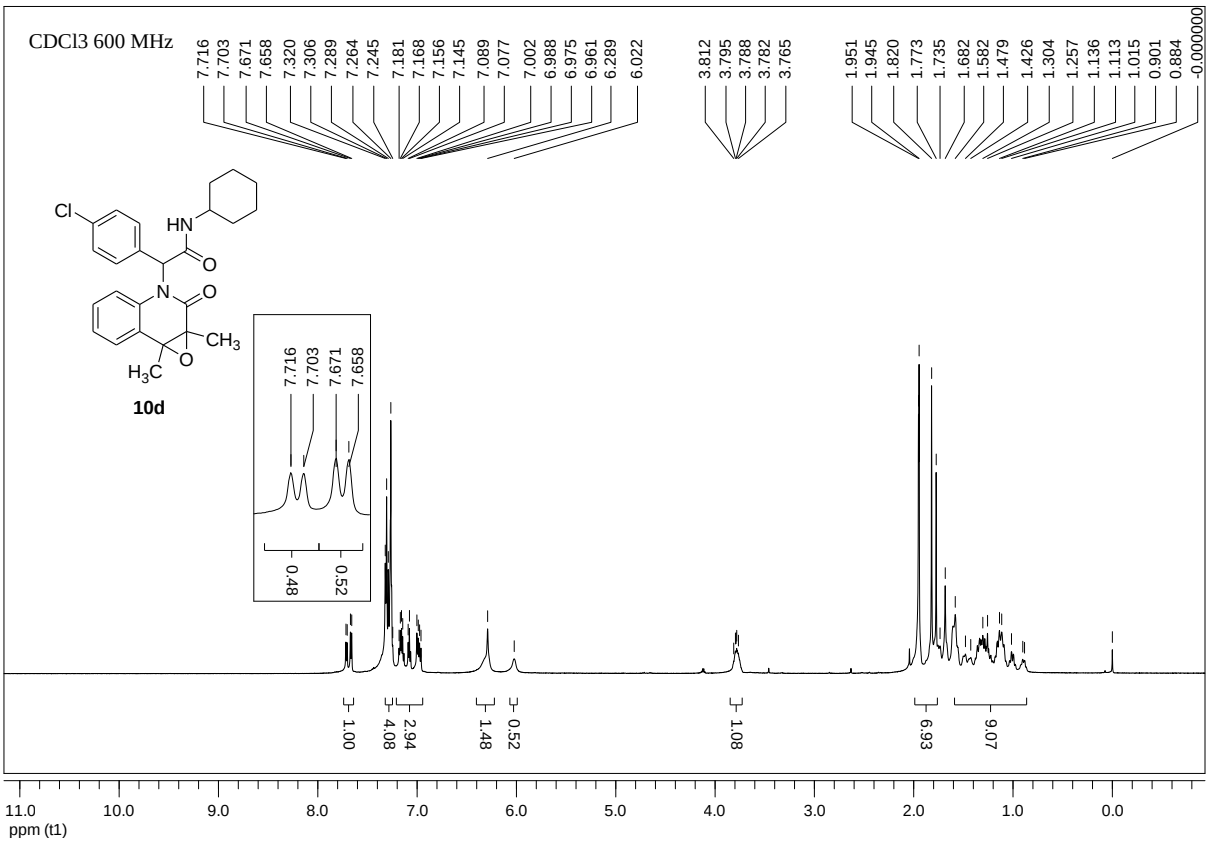

CDCl3 150 MHz
170.813
170.247
167.140
166.541
136.903
136.545
133.315
132.463
132.210
129.866
129.548
129.386
128.739
128.456
128.340
127.851
127.719
123.825
123.742
123.349
122.953
117.628
117.080
77.210
77.000
76.789
63.951
63.776
61.893
60.471
59.867
51.704
51.566
29.665
28.537
28.267
15.859
13.817
13.534
Cl
HN
O
N
O
CH3
O
H3C
10a
diastereomers mixture
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

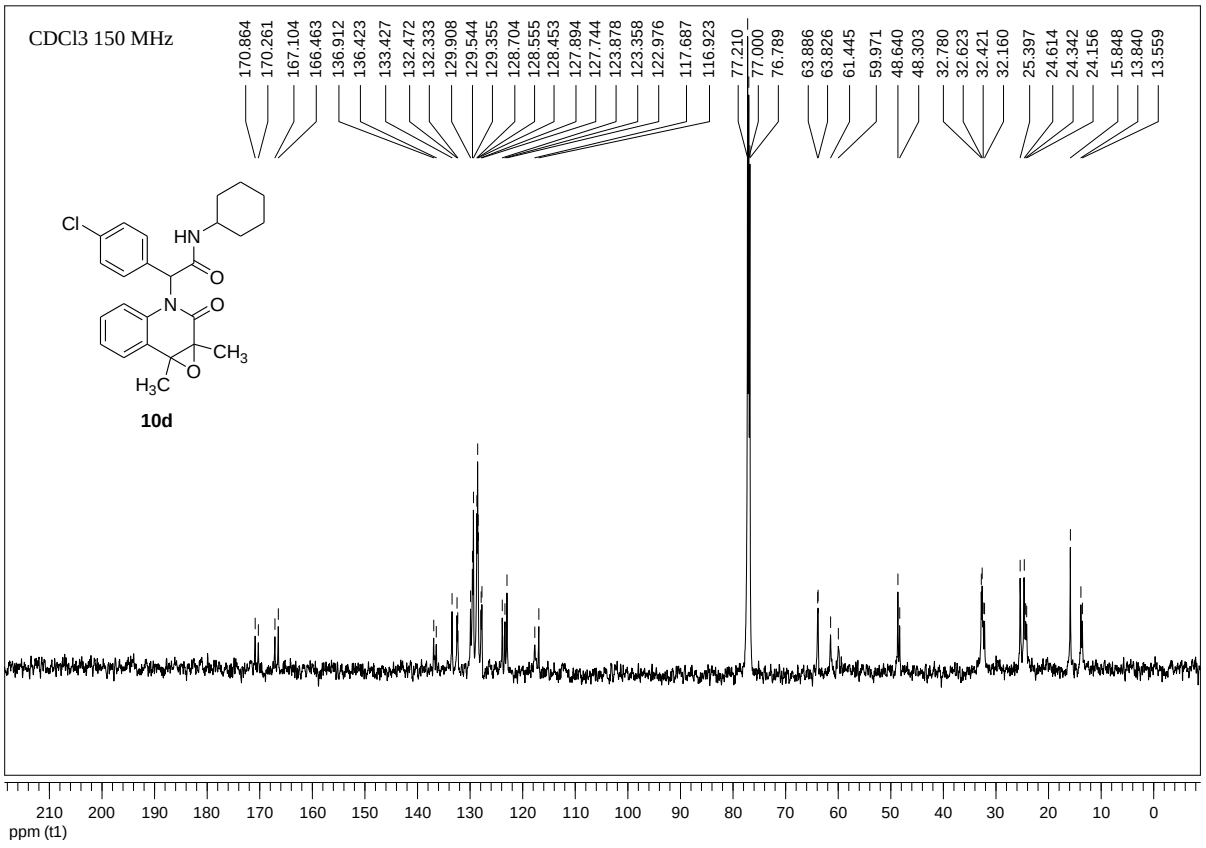

CDCl3 600 MHz
7.722
7.710
7.675
7.663
7.440
7.426
7.418
7.404
7.262
7.237
7.224
7.177
7.165
7.153
7.096
7.083
7.071
6.991
6.977
6.966
6.952
6.208
6.185
5.985
1.952
1.820
1.771
1.635
1.304
1.199
0.000000
Br
HN
O
N
O
CH3
O
H3C
10b
2.04
1.02
0.34
0.67
1.33
0.67
1.02
1.99
3.06
2.07
1.33
0.97
3.00
3.04
9.22
2.99
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

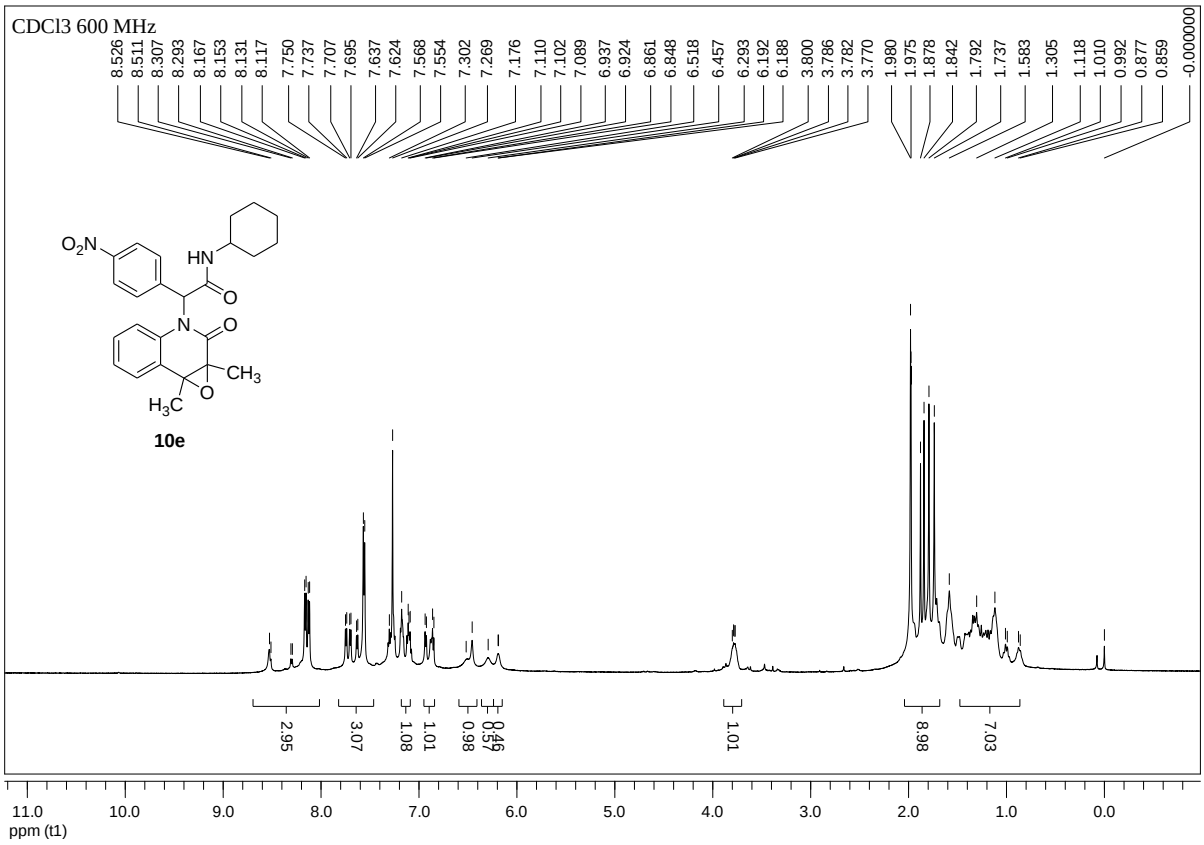

CDCl3 150 MHz
170.860
170.318
167.078
166.494
136.919
136.567
133.022
132.782
131.422
131.306
129.881
129.738
129.582
129.098
127.869
127.740
123.845
123.375
122.985
121.570
117.655
117.110
77.310
76.999
76.788
63.955
63.779
61.925
60.426
51.725
51.589
28.552
28.284
15.860
13.818
13.534
Br
HN
O
N
O
CH3
O
H3C
10b
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

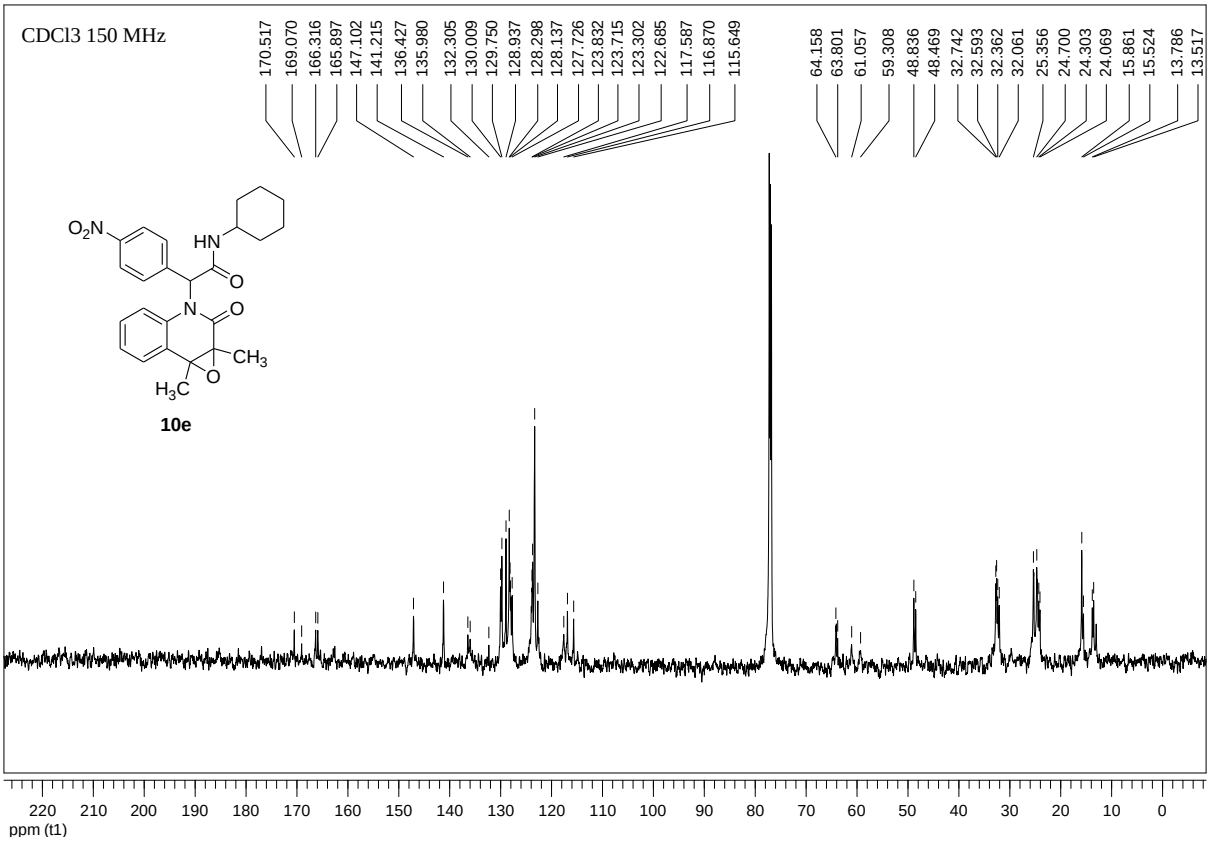

CDCl3 600 MHz
7.705
7.693
7.655
7.643
7.290
7.276
7.263
7.181
7.169
7.156
7.130
7.065
7.053
7.043
7.028
6.858
6.845
6.831
6.196
6.125
5.876
3.777
3.765
1.930
1.808
1.763
1.711
1.310
1.226
-0.000000
CH3O
HN
O
N
O
CH3
O
H3C
10c
1.06
2.02
3.01
2.09
1.37
0.63
3.00
3.07
3.01
9.07
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

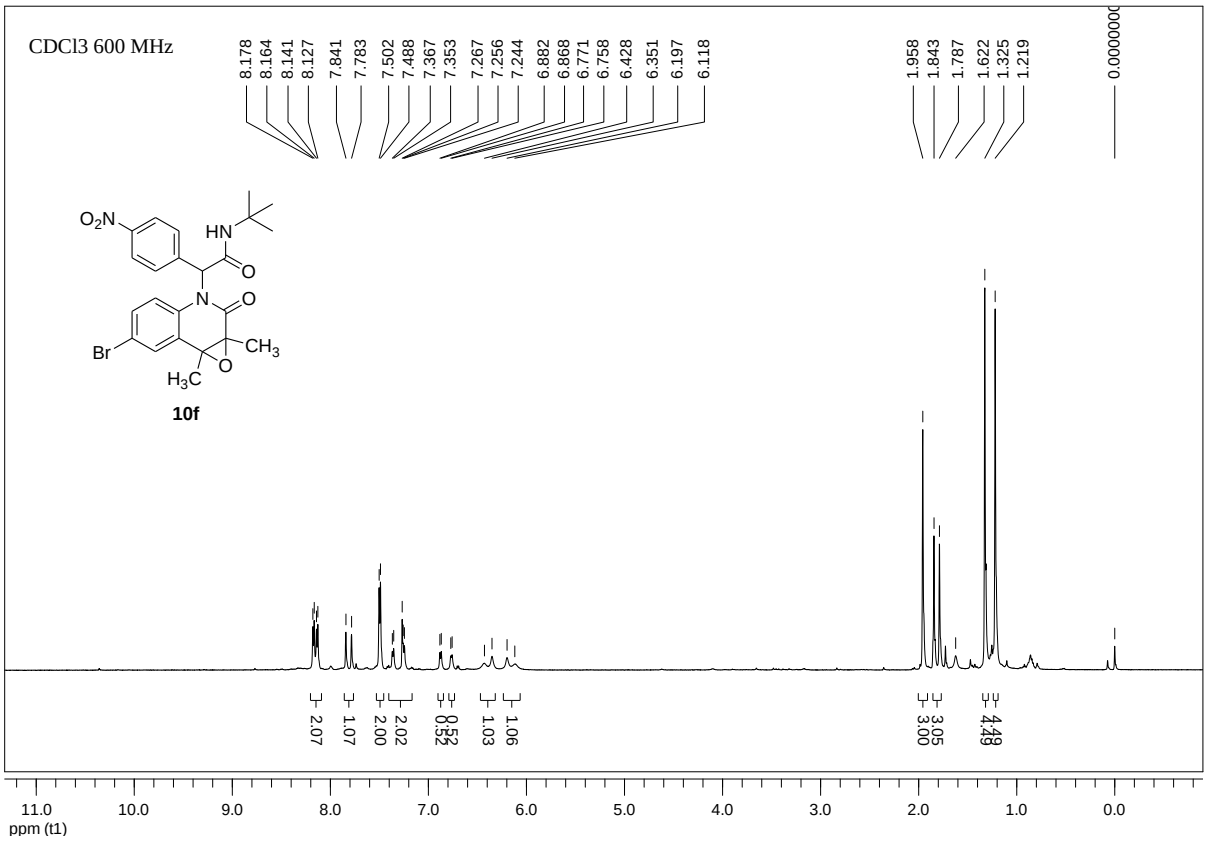

CDCl3 150 MHz
170.456
170.000
167.907
167.142
158.886
137.411
137.058
129.806
129.299
128.615
127.719
127.606
126.166
125.804
123.843
123.066
122.631
117.524
116.960
113.942
113.760
77.210
77.000
76.789
63.723
62.688
61.385
55.201
51.581
51.439
28.554
28.339
15.858
13.867
13.594
CH3O
HN
O
N
O
CH3
O
H3C
10c
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)


CDCl3 600 MHz
7.716
7.703
7.671
7.658
7.320
7.306
7.289
7.264
7.245
7.181
7.168
7.156
7.145
7.089
7.077
7.002
6.988
6.975
6.961
6.289
6.022
3.812
3.795
3.788
3.782
3.765
1.951
1.945
1.820
1.773
1.735
1.682
1.582
1.479
1.426
1.304
1.257
1.136
1.113
1.015
0.901
0.884
-0.000000
Cl
HN
O
N
O
CH3
O
H3C
10d
0.48
0.52
1.00
4.08
2.94
1.48
0.52
1.08
6.93
9.07
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

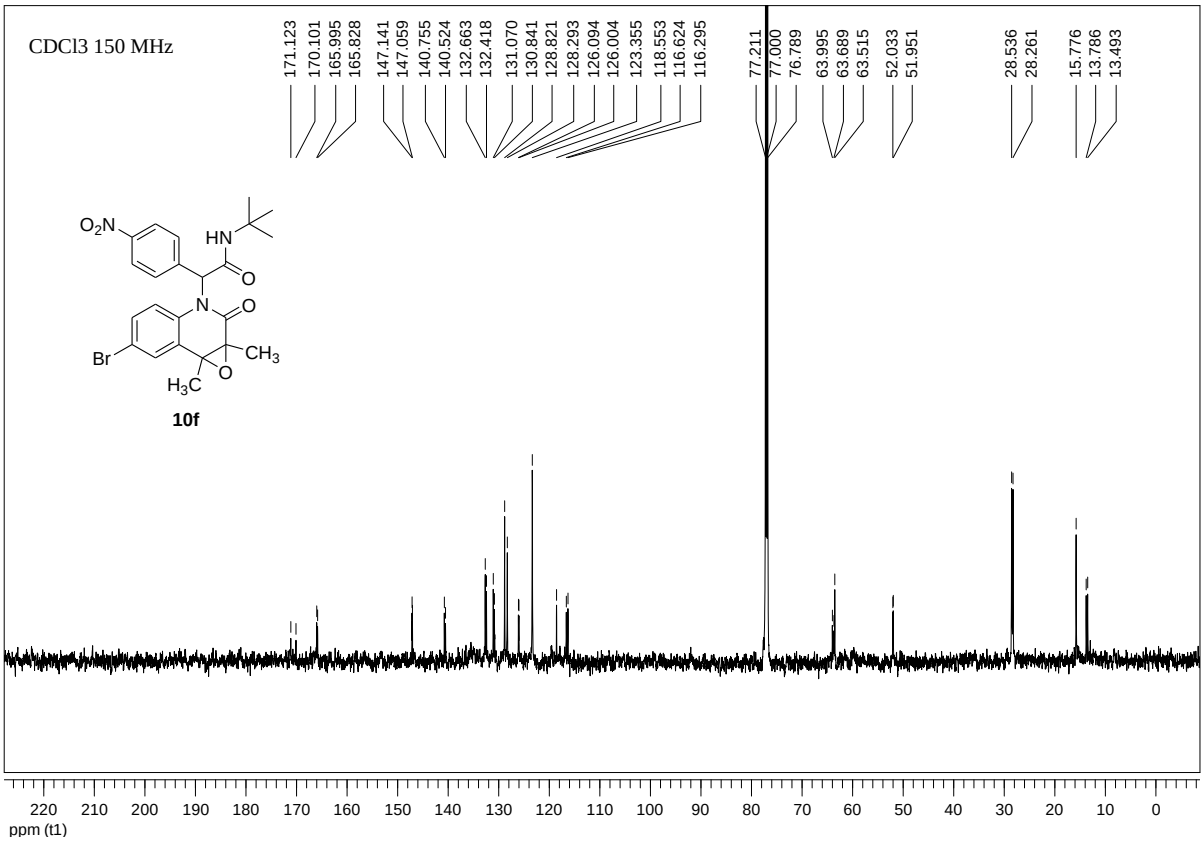

CDCl3 150 MHz
170.864
170.261
167.104
166.463
136.912
136.423
133.427
132.472
132.333
129.908
129.544
129.355
128.704
128.555
128.453
127.894
127.744
123.878
123.358
122.976
117.687
116.923
77.210
77.000
76.789
63.886
63.826
61.445
59.971
48.640
48.303
32.780
32.623
32.421
32.160
25.397
24.614
24.342
24.156
15.848
13.840
13.559
Cl
HN
O
N
O
CH3
O
H3C
10d
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)


CDCl3 600 MHz
8.526
8.511
8.307
8.293
8.167
8.153
8.131
8.117
7.750
7.737
7.707
7.695
7.637
7.624
7.568
7.554
7.302
7.269
7.176
7.110
7.102
7.089
6.937
6.924
6.861
6.848
6.518
6.457
6.293
6.192
6.188
3.800
3.786
3.782
3.770
1.980
1.975
1.878
1.842
1.792
1.737
1.583
1.305
1.118
1.010
0.992
0.877
0.859
-0.000000
O2N
HN
O
N
O
CH3
O
H3C
10e
2.95
3.07
1.08
1.01
0.98
0.50
0.49
1.01
8.98
7.03
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

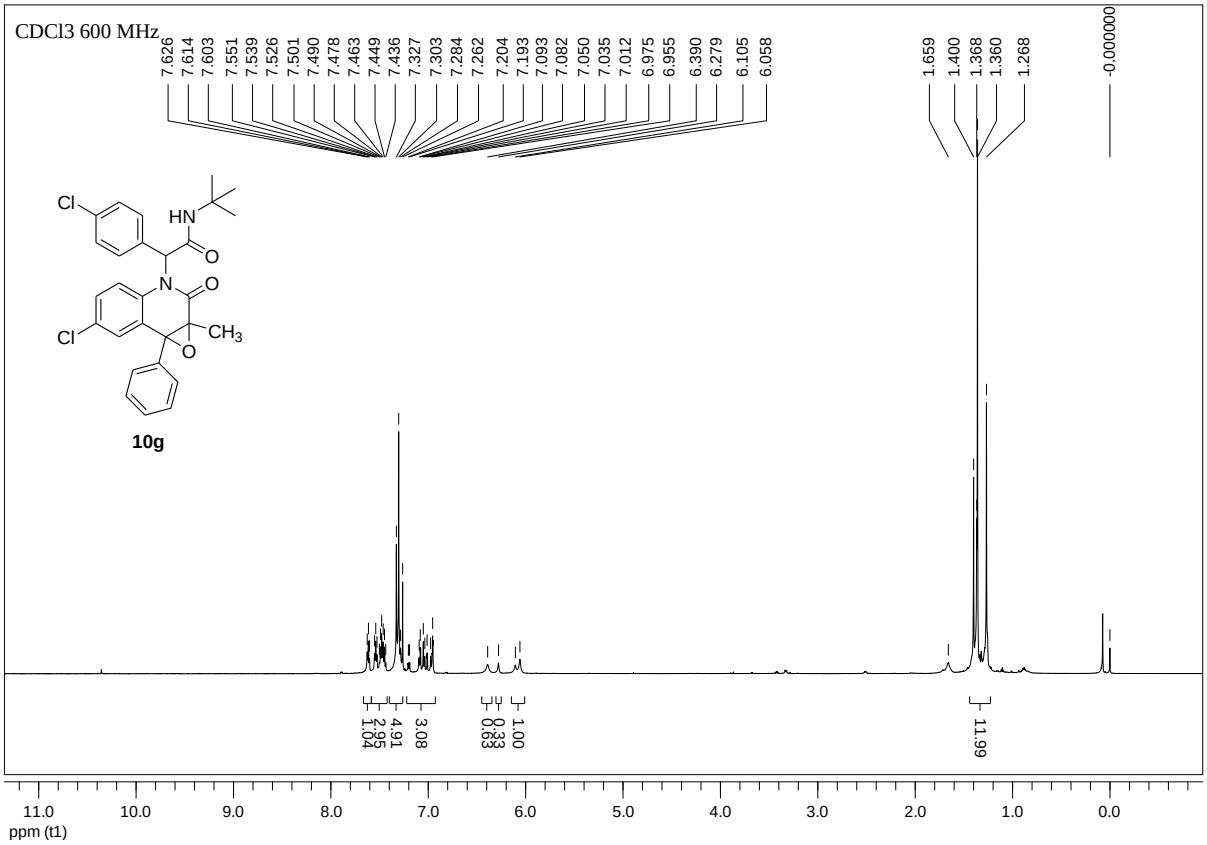

CDCl3 150 MHz
170.517
169.070
166.316
165.897
147.102
141.215
136.427
135.980
132.305
130.009
129.750
128.937
128.298
128.137
127.726
123.832
123.715
123.302
122.685
117.587
116.870
115.649
64.158
63.801
61.057
59.308
48.836
48.469
32.742
32.593
32.362
32.061
25.356
24.700
24.303
24.069
15.861
15.524
13.786
13.517
O2N
HN
O
N
O
CH3
O
H3C
10e
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

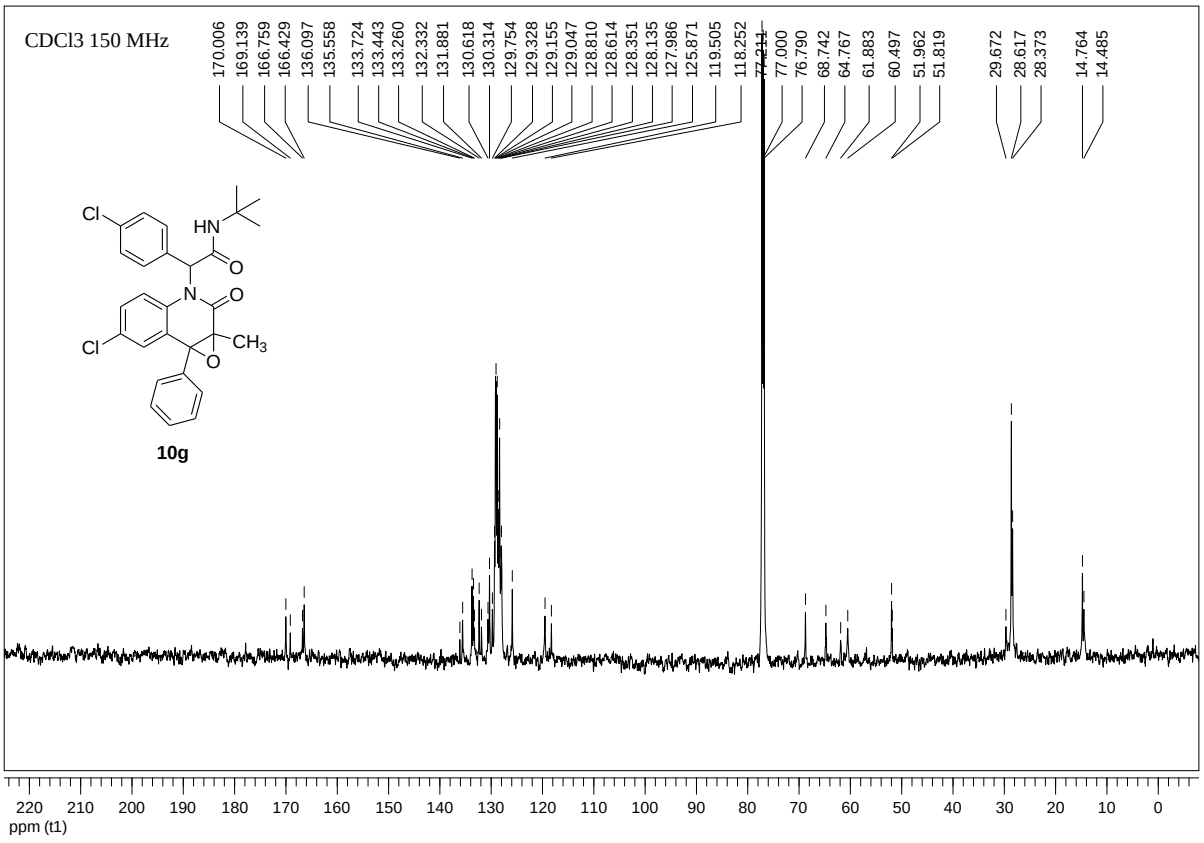

CDCl3 600 MHz
8.178
8.164
8.141
8.127
7.841
7.783
7.502
7.488
7.367
7.353
7.267
7.256
7.244
6.882
6.868
6.771
6.758
6.428
6.351
6.197
6.118
1.958
1.843
1.787
1.622
1.325
1.219
0.000000
2.07
1.07
2.00
2.02
0.52
1.03
1.06
3.00
3.05
4.49
4.49
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

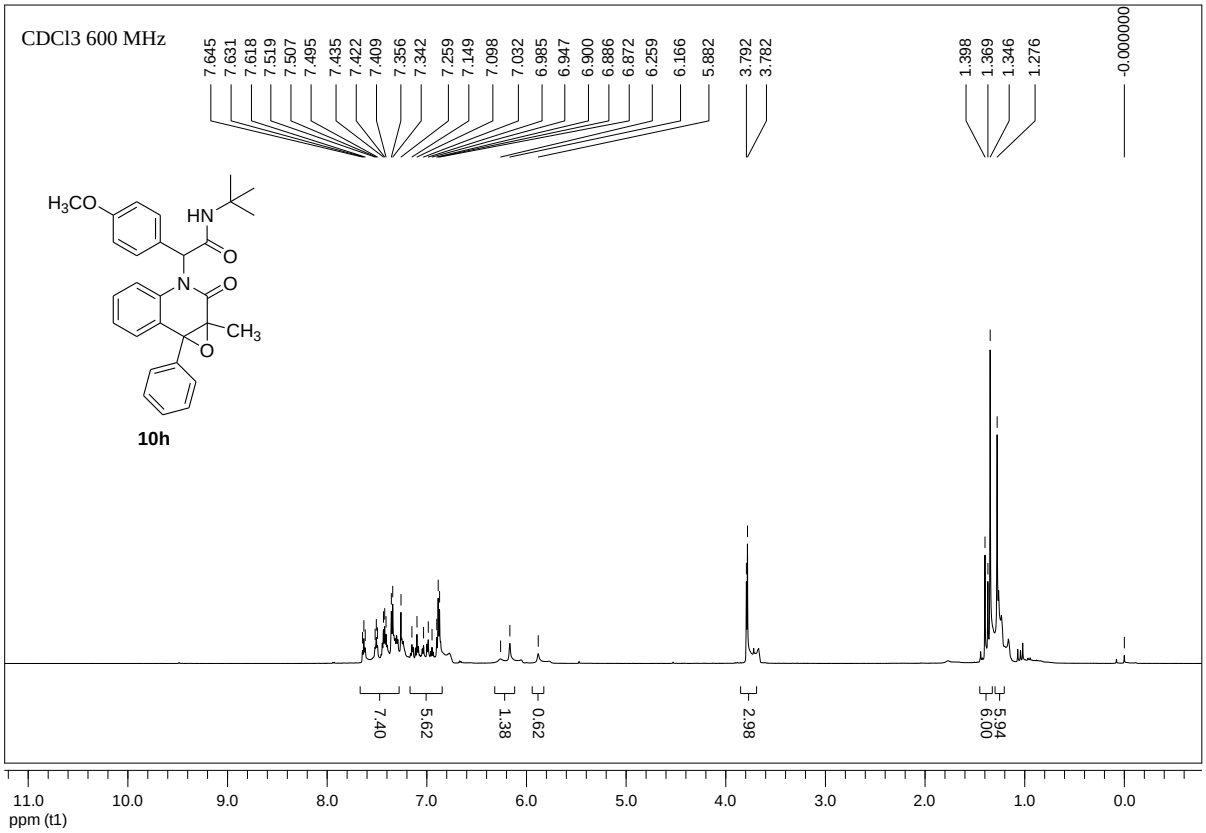
10f

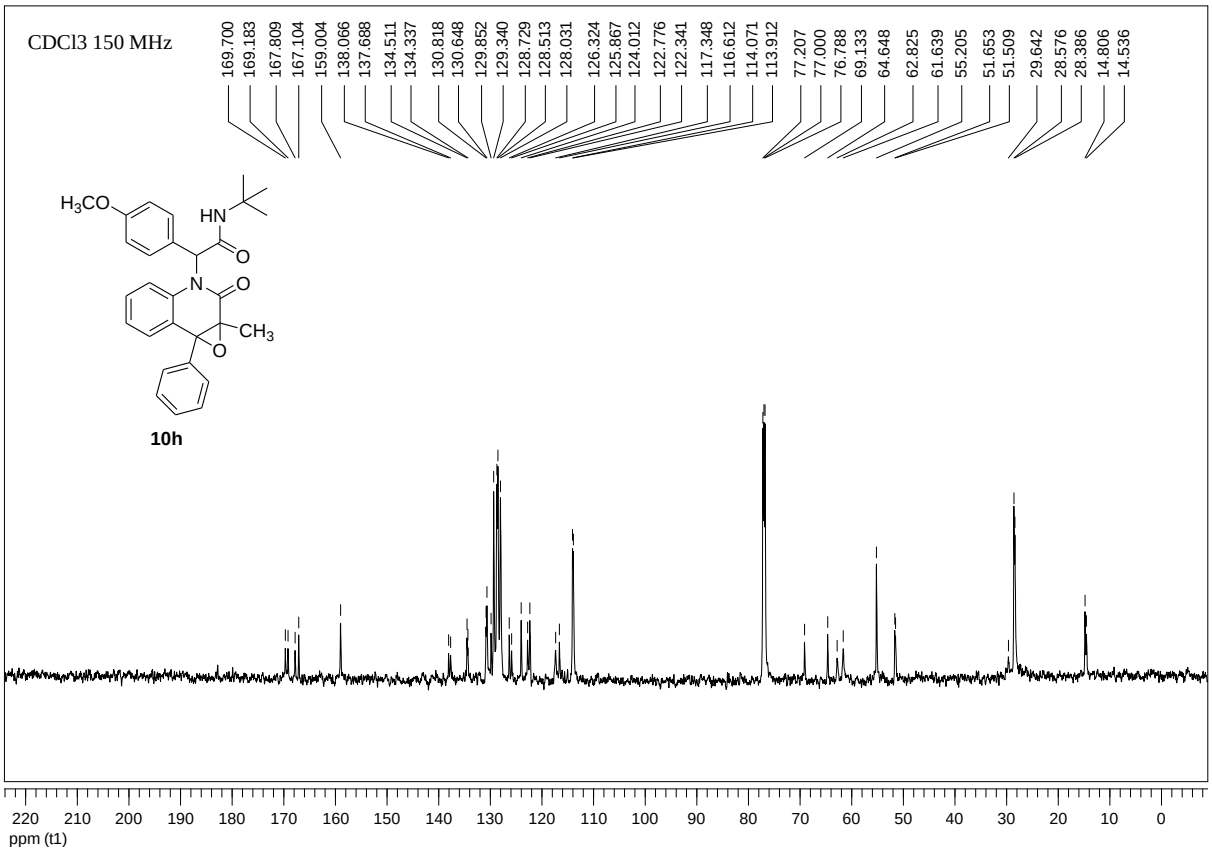

CDCl3 150 MHz
171.123
170.101
165.995
165.828
147.141
147.059
140.755
140.524
132.663
132.418
131.070
130.841
128.821
128.293
126.094
126.004
123.355
118.553
116.624
116.295
77.211
77.000
76.789
63.995
63.689
63.515
52.033
51.951
28.536
28.261
15.776
13.786
13.493
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

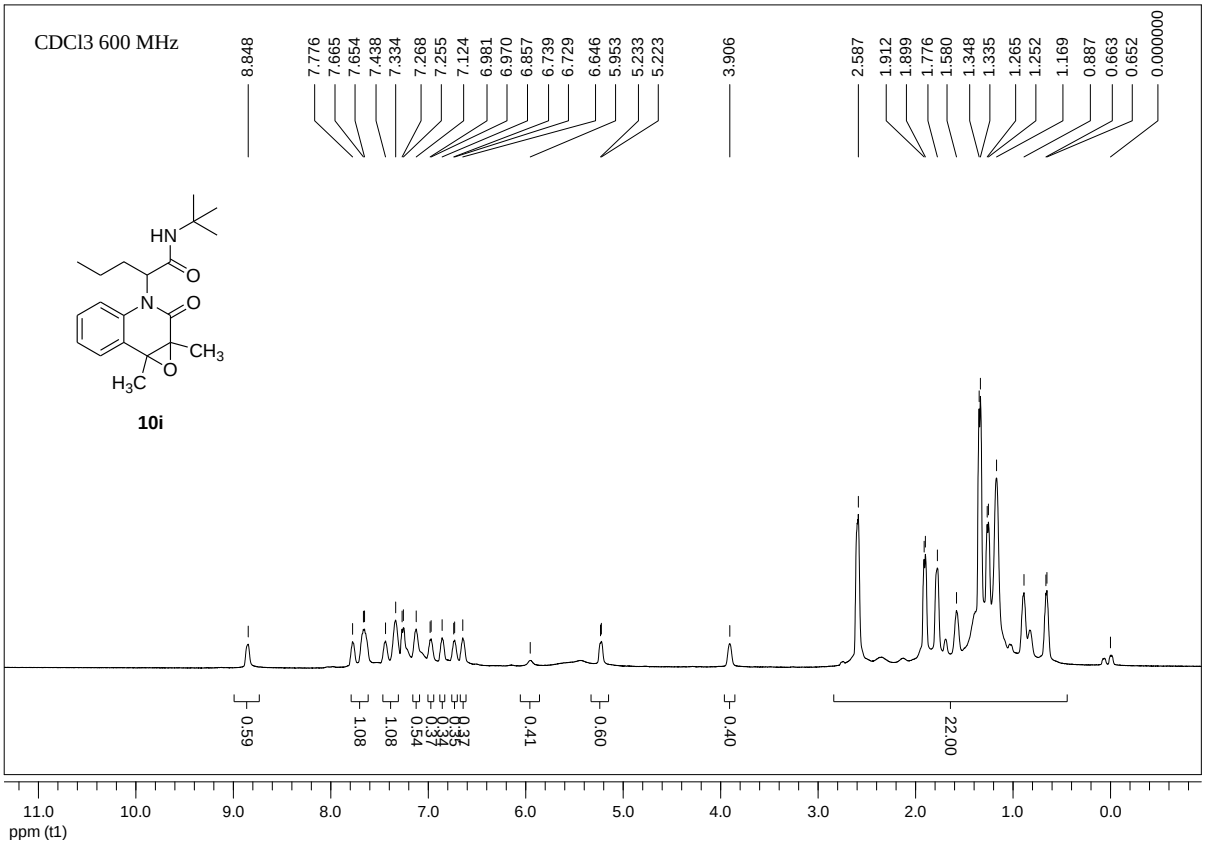
10f

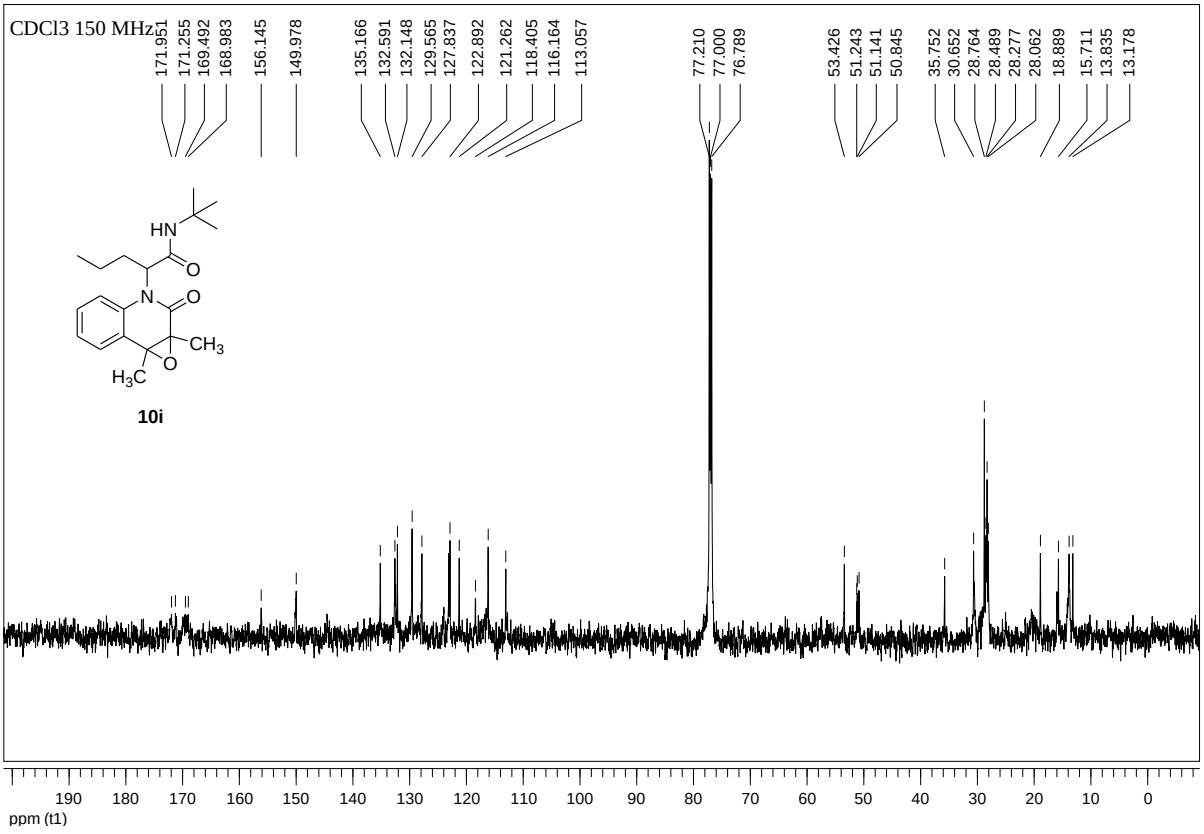

CDCl3 600 MHz
7.626
7.614
7.603
7.551
7.539
7.526
7.501
7.490
7.478
7.463
7.449
7.436
7.327
7.303
7.284
7.262
7.204
7.193
7.093
7.082
7.050
7.035
7.012
6.975
6.955
6.390
6.279
6.105
6.058
1.659
1.400
1.368
1.360
1.268
-0.000000
Cl
HN
O
N
O
Cl
CH3
O
10g
1.04
2.95
4.91
3.08
0.83
0.83
1.00
11.99
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

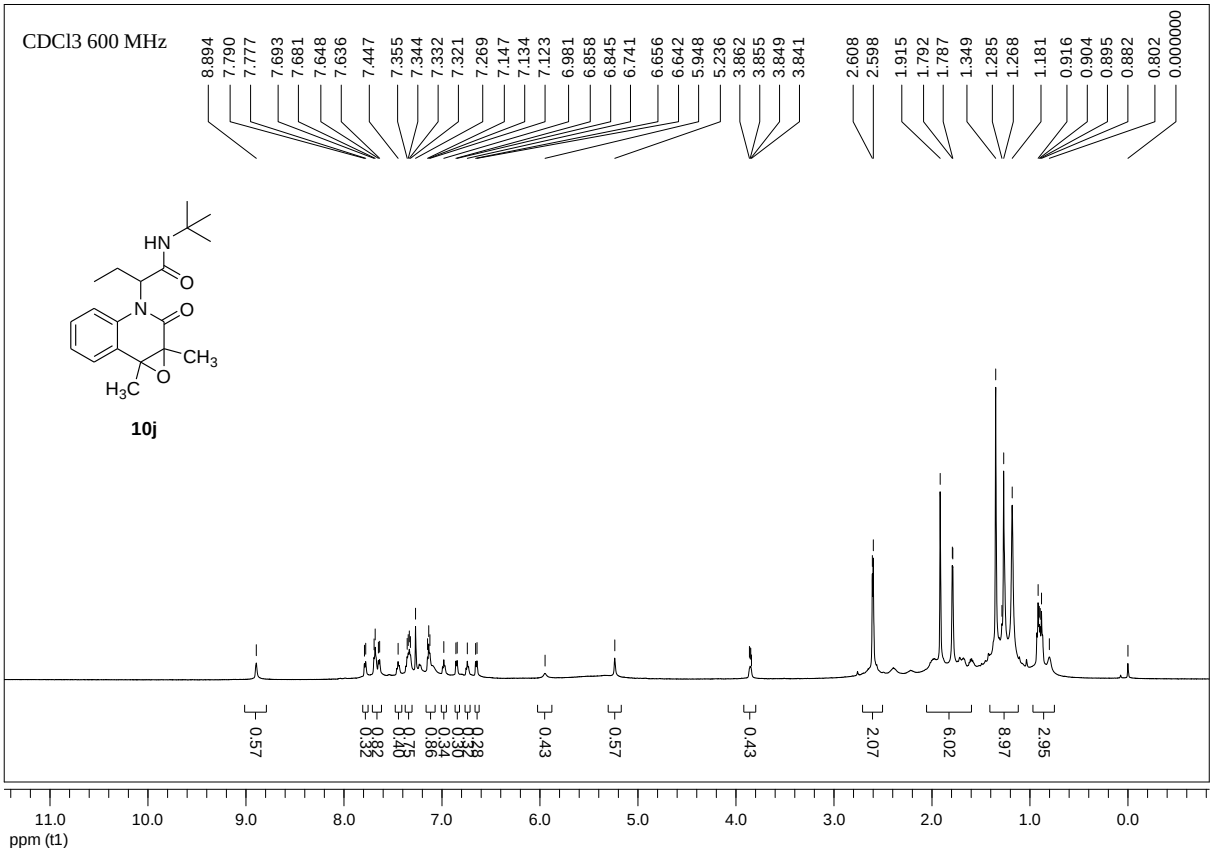

CDCl3 150 MHz
170.006
169.139
166.759
166.429
136.097
135.558
133.724
133.443
133.260
132.332
131.881
130.618
130.314
129.754
129.328
129.155
129.047
128.810
128.614
128.351
128.135
127.986
125.871
119.505
118.252
77.211
77.000
76.790
68.742
64.767
61.883
60.497
51.962
51.819
29.672
28.617
28.373
14.764
14.485
Cl
HN
O
N
O
Cl
CH3
O
10g
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

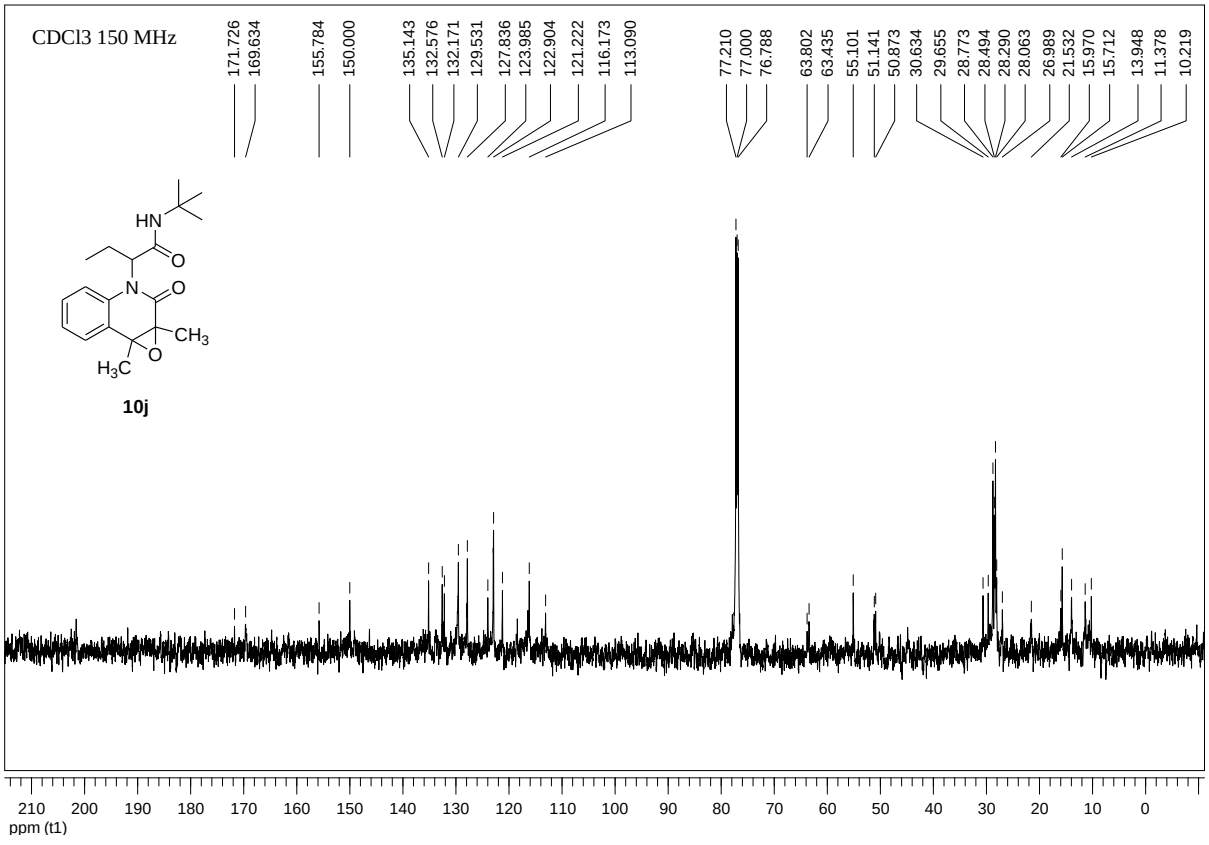

CDCl3 600 MHz
7.645
7.631
7.618
7.519
7.507
7.495
7.435
7.422
7.409
7.356
7.342
7.259
7.149
7.098
7.032
6.985
6.947
6.900
6.886
6.872
6.259
6.166
5.882
3.792
3.782
1.398
1.369
1.346
1.276
-0.000000
H3CO
HN
O
N
O
CH3
O
10h
4.04
5.62
1.38
0.62
2.98
6.00
5.64
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

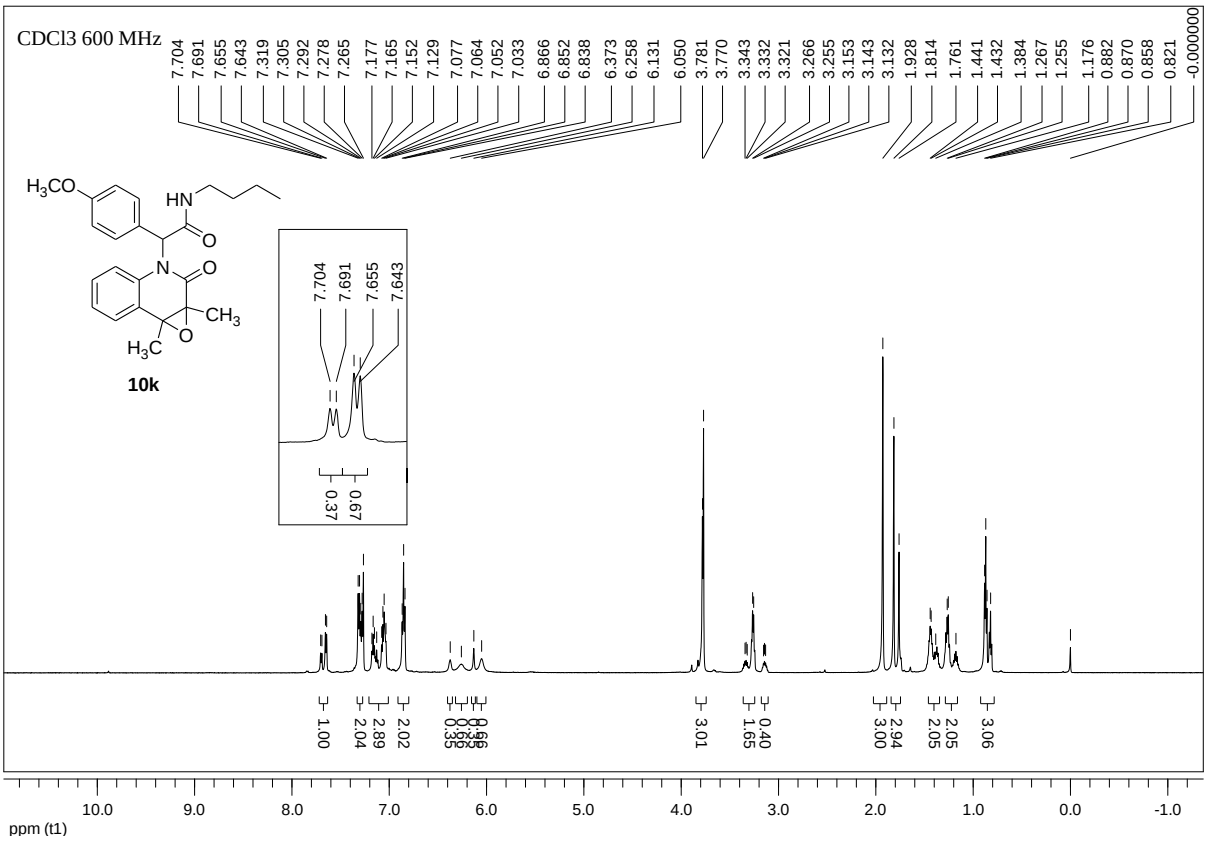

CDCl3 150 MHz
169.700
169.183
167.809
167.104
159.004
138.066
137.688
134.511
134.337
130.818
130.648
129.852
129.340
128.729
128.513
128.031
126.324
125.867
124.012
122.776
122.341
117.348
116.612
114.071
113.912
77.207
77.000
76.788
69.133
64.648
62.825
61.639
55.205
51.653
51.509
29.642
28.576
28.386
14.806
14.536
H3CO
HN
O
N
O
CH3
O
10h
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

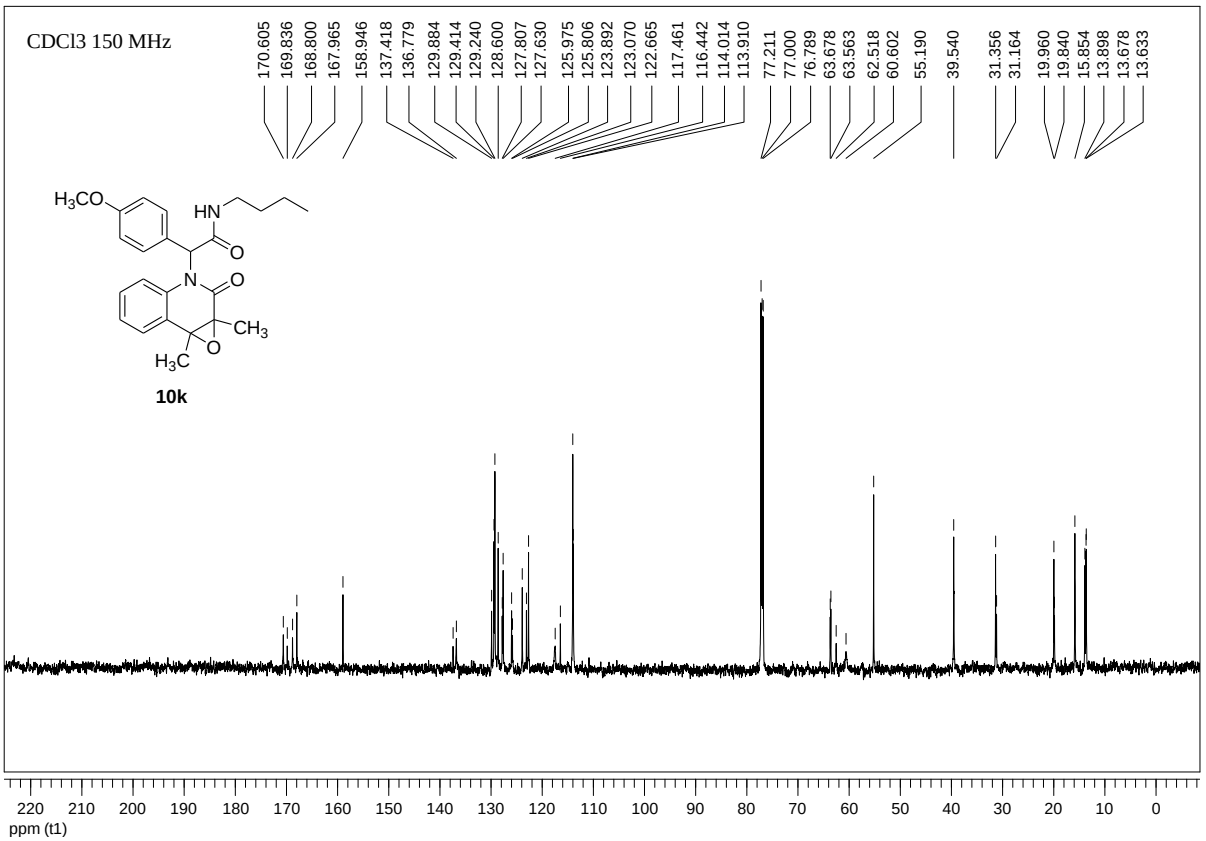

CDCl3 600 MHz
8.848
7.776
7.665
7.654
7.438
7.334
7.268
7.255
7.124
6.981
6.970
6.857
6.739
6.729
6.646
5.953
5.233
5.223
3.906
2.587
1.912
1.899
1.776
1.580
1.348
1.335
1.265
1.252
1.169
0.887
0.663
0.652
0.000000
HN
O
N
O
CH3
O
H3C
10i
0.59
1.08
1.08
0.54
0.37
0.41
0.60
0.40
22.00
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)

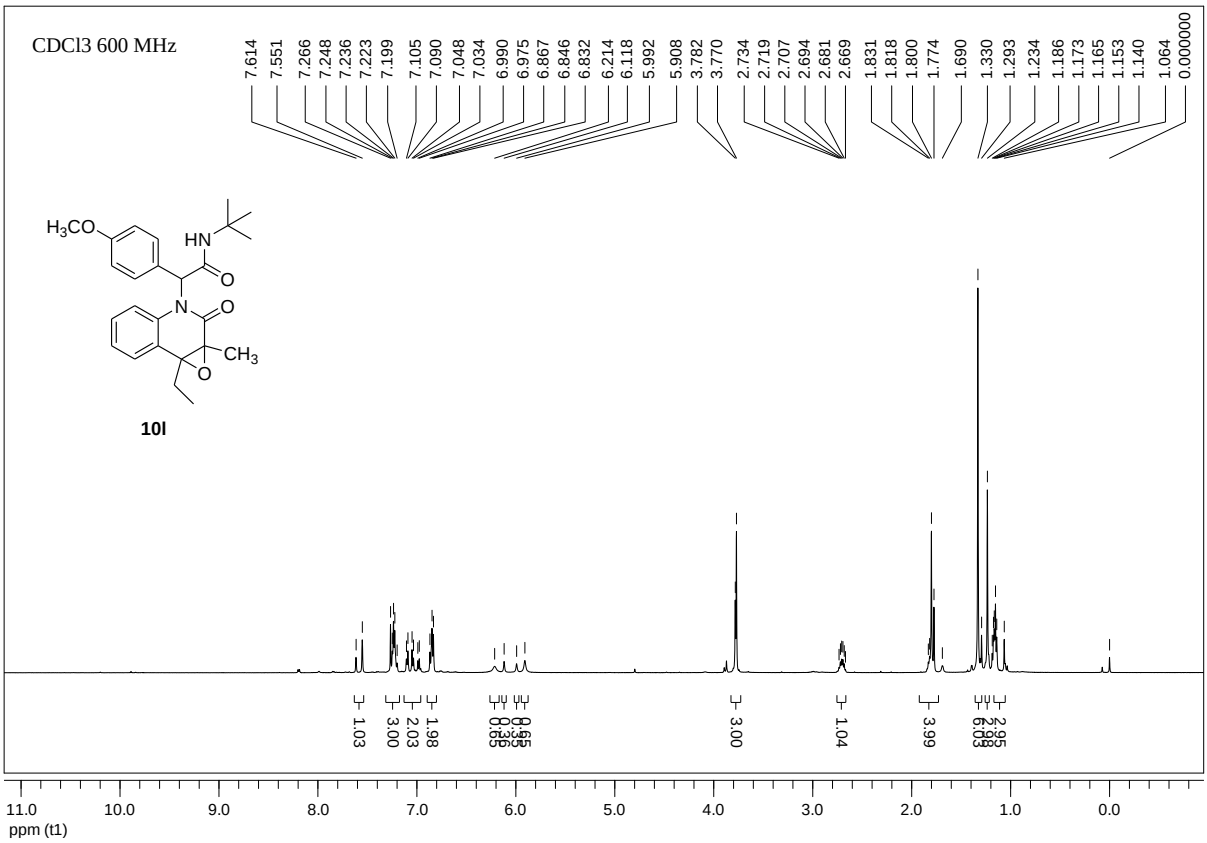

CDCl3 150 MHz
171.951
171.255
169.492
168.983
156.145
149.978
135.166
132.591
132.148
129.565
127.837
122.892
121.262
118.405
116.164
113.057
77.210
77.000
76.789
53.426
51.243
51.141
50.845
35.752
30.652
28.764
28.489
28.277
28.062
18.889
15.711
13.835
13.178
HN
O
N
O
CH3
O
H3C
10i
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)

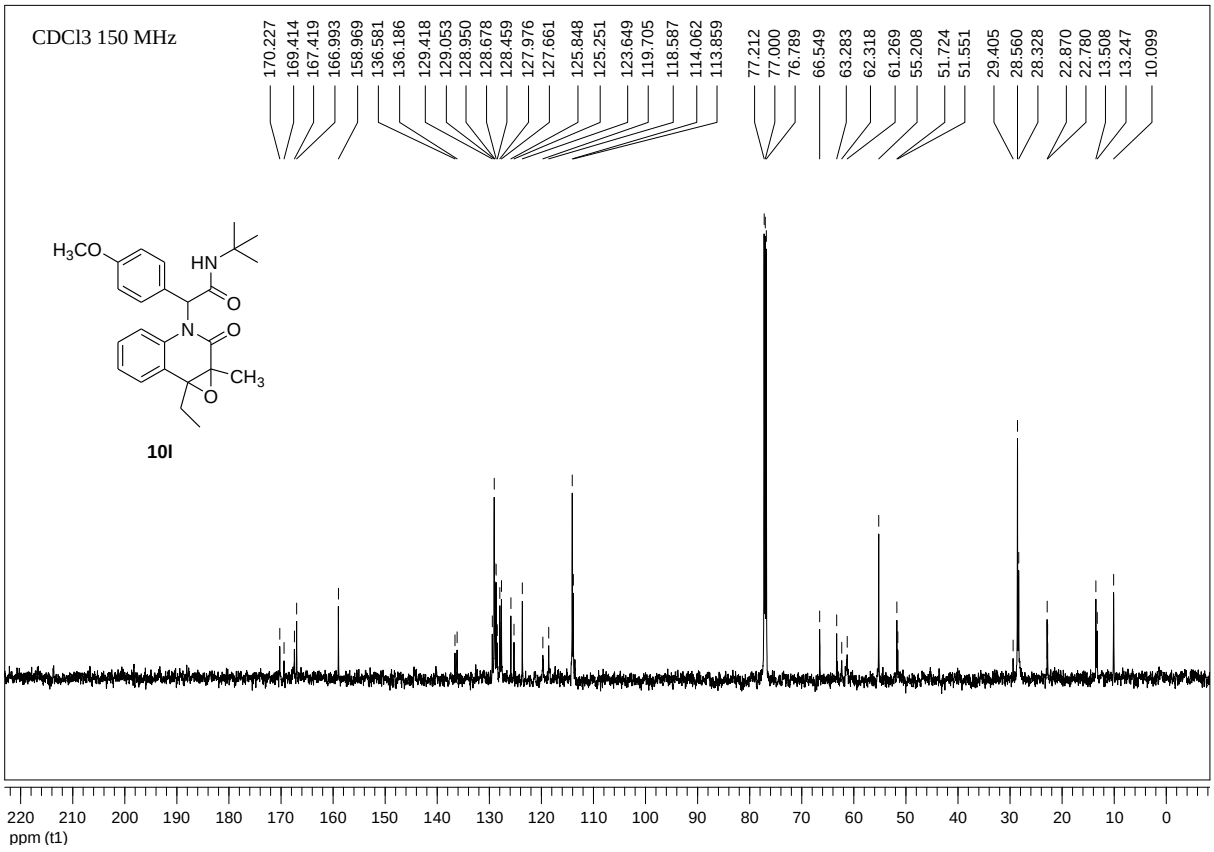

CDCl3 600 MHz
8.894
7.790
7.777
7.693
7.681
7.648
7.636
7.447
7.355
7.344
7.332
7.321
7.269
7.147
7.134
7.123
6.981
6.858
6.845
6.741
6.656
6.642
5.948
5.236
3.862
3.855
3.849
3.841
2.608
2.598
1.915
1.792
1.787
1.349
1.285
1.268
1.181
0.916
0.904
0.895
0.882
0.802
0.000000
HN
O
N
O
CH3
O
H3C
10j
0.57
0.43
0.57
0.43
2.07
6.02
8.97
2.95
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)


CDCl3 150 MHz
171.726
169.634
155.784
150.000
135.143
132.576
132.171
129.531
127.836
123.985
122.904
121.222
116.173
113.090
77.210
77.000
76.788
63.802
63.435
55.101
51.141
50.873
30.634
29.655
28.773
28.494
28.290
28.063
26.989
21.532
15.970
15.712
13.948
11.378
10.219
HN
O
N
O
CH3
O
H3C
10j
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)


CDCl3 600 MHz
7.704 7.691 7.655 7.643 7.319 7.305 7.292 7.278 7.265 7.177 7.165 7.152 7.129 7.077 7.064 7.052 7.033 6.866 6.852 6.838 6.373 6.258 6.131 6.050 3.781 3.770 3.343 3.332 3.321 3.266 3.255 3.153 3.143 3.132 1.928 1.814 1.761 1.441 1.432 1.384 1.267 1.255 1.176 0.882 0.870 0.858 0.821 -0.000000
10k
1.00 2.04 2.89 2.02 0.33 0.35 0.35 0.35 3.01 1.65 0.40 3.00 2.94 2.05 2.05 3.06
ppm (t1)


CDCl3 150 MHz
170.605 169.836 168.800 167.965 158.946 137.418 136.779 129.884 129.414 129.240 128.600 127.807 127.630 125.975 125.806 123.892 123.070 122.665 117.461 116.442 114.014 113.910 77.211 77.000 76.789 63.678 63.563 62.518 60.602 55.190 39.540 31.356 31.164 19.960 19.840 15.854 13.898 13.678 13.633
10k
ppm (t1)


CDCl3 600 MHz
7.614
7.551
7.266
7.248
7.236
7.223
7.199
7.105
7.090
7.048
7.034
6.990
6.975
6.867
6.846
6.832
6.214
6.118
5.992
5.908
3.782
3.770
2.734
2.719
2.707
2.694
2.681
2.669
1.831
1.818
1.800
1.774
1.690
1.330
1.293
1.234
1.186
1.173
1.165
1.153
1.140
1.064
0.000000
H3CO
HN
O
N
O
CH3
O
10l
1.03
3.00
2.03
1.98
0.88
0.96
0.95
3.00
1.04
3.99
6.09
2.55
11.0
10.0
9.0
8.0
7.0
6.0
5.0
4.0
3.0
2.0
1.0
0.0
ppm (t1)


CDCl3 150 MHz
170.227
169.414
167.419
166.993
158.969
136.581
136.186
129.418
129.053
128.950
128.678
128.459
127.976
127.661
125.848
125.251
123.649
119.705
118.587
114.062
113.859
77.212
77.000
76.789
66.549
63.283
62.318
61.269
55.208
51.724
51.551
29.405
28.560
28.328
22.870
22.780
13.508
13.247
10.099
H3CO
HN
O
N
O
CH3
O
10l
220
210
200
190
180
170
160
150
140
130
120
110
100
90
80
70
60
50
40
30
20
10
0
ppm (t1)