# Synthesis of Benzouracils and Carbamates from Chloroacetamides through Carbon-Carbon Bond Cleavage

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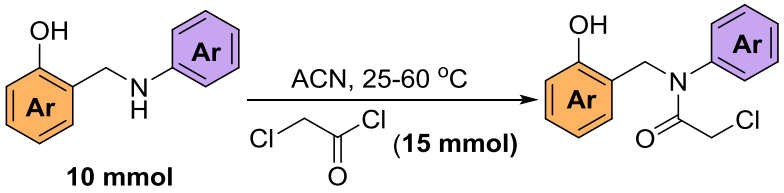
**Table of Contents**

## Experimental

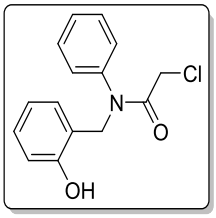
All materials were purchased from Merck, Aldrich, and Fluka, and used as received. Melting points were measured by an Electrothermal 9100 apparatus and are uncorrected. The $^{1}$HNMR (600 MHz) and $^{13}$CNMR (151 MHz) spectra were run on Bruker spectrometer using $CDCl_3$ and DMSO-*d6* as solvent and Me4Si (TMS) as internal standard at 298 K. The chemical shifts (δ) are reported in parts per million (ppm) and coupling constants (J) are given in Hz. High-resolution mass spectra (HRMS) were obtained on an Agilent HRMS-ESI/QTOF instrument. The secondary amines used as starting materials for the synthesis of compounds **1a-r** were prepared following a reported procedure. Similarly, the aminobenzamides utilized in the synthesis of compounds **3a-j** were synthesized according to a reported method.[1-2] Chloroacetylated alcohols **5a-d** were synthesized based on the reported procedure. [3]

***Procedure for the synthesis of 2-chloro-N-(2-hydroxyaryl)-N-aryl(alkyl)acetamide (1a-r):***



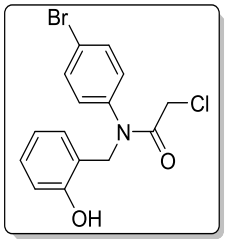

To a 150-mL round-bottom flask containing 50 mL of acetonitrile and equipped with a stir bar, secondary amine (10 mmol) and chloroacetyl chloride (15 mmol, 1.19 mL) were added. The reaction mixture was stirred at 25 °C for 1 hour, then the temperature was increased to 60 °C and stirring continued until the secondary amine was consumed. The progress of the reaction was monitored by TLC. Upon completion, the reaction was quenched with $NaHCO_3$ solution. The reaction mixture was transferred to a separatory funnel and extracted with ethyl acetate (3 x 20 mL). The combined organic layers were washed with brine, dried over $MgSO_4$, filtered, and concentrated under reduced pressure. The crude mixture was then purified via column chromatography hexane/ethyl acetate (6:1) to afford the chloroacetylated amines as the product.

**2-chloro-N-(2-hydroxybenzyl)-N-phenylacetamide (1a).**



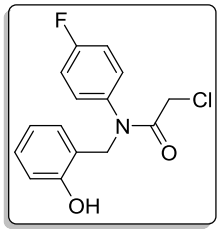

White Solid, (83%). mp: 104-105 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 9.04 (s, 1H), 7.47 – 7.41 (m, 3H), 7.22 – 7.19 (m, 1H), 7.10 – 7.01 (m, 2H), 7.00 – 6.94 (m, 1H), 6.67 (td, J = 7.4, 1.2 Hz, 1H), 6.58 (dd, J = 7.5, 1.7 Hz, 1H), 4.78 (s, 2H), 3.81 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.53, 155.86, 140.18, 131.82, 130.51, 130.26, 129.46, 128.00, 121.18, 119.50, 118.04, 51.50, 41.27; **HRMS (ESI)** m/z: cacld for $C_{15}H_{14}ClNO_2$ $[M+H]^+$ 276.0791, Found 276.0805.

**N-(4-bromophenyl)-2-chloro-N-(2-hydroxybenzyl)acetamide (1b).**



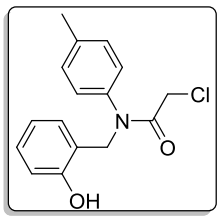

White Solid, (88%). mp: 133-134 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 9.05 (s, 1H), 7.22-7.19 (m, 1H), 6.99 – 6.94 (m, 3H), 6.93 – 6.89 (m, 2H), 6.68 (td, J = 7.4, 1.3 Hz, 1H), 6.61 (dd, J = 7.5, 1.8 Hz, 1H), 4.73 (s, 2H), 3.82 (s, 3H), 3.81 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.8, 160.0, 155.8, 132.7, 131.8, 130.4, 129.0, 121.2, 119.4, 117.9, 115.2, 55.5, 51.6, 41.3; **HRMS (ESI)** m/z: cacld for $C_{15}H_{13}BrClNO_2$ $[M+H]^+$ 353.9896, Found 353.9904.

**2-chloro-N-(4-fluorophenyl)-N-(2-hydroxybenzyl)acetamide (1c).**



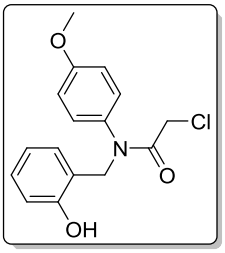

White Solid, (81%). mp: 91-93 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 8.88 (s, 1H), 7.22 (ddd, J = 8.7, 7.3, 1.8 Hz, 1H), 7.16 – 7.09 (m, 2H), 7.05 (ddt, J = 6.7, 4.8, 2.8 Hz, 2H), 6.97 (dd, J = 8.2, 1.2 Hz, 1H), 6.68 (td, J = 7.4, 1.2 Hz, 1H), 6.58 (dd, J = 7.5, 1.7 Hz, 1H), 4.75 (s, 2H), 3.79 (s, 2H).**$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.6, 162.6 (d, J = 251.1 Hz), 155.8, 136.0 (d, J = 3.4 Hz), 131.7, 130.6, 129.9 (d, J = 8.8 Hz), 120.8, 119.5, 118.0, 117.2 (d, J = 23.0 Hz), 51.5, 41.0.**HRMS (ESI)** m/z: cacld for $C_{15}H_{13}ClFNO_2$ $[M+H]^+$ 294.0697, Found 294.0686.

**2-chloro-N-(2-hydroxybenzyl)-N-(p-tolyl)acetamide (1d).**



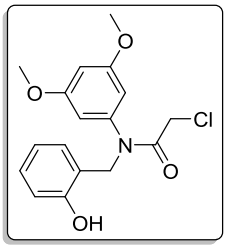

White Solid, (76%). mp: 102-104 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 9.07 (s, 1H), 7.23 – 7.18 (m, 3H), 6.97 (dd, J = 8.1, 1.2 Hz, 1H), 6.95 – 6.91 (m, 2H), 6.67 (td, J = 7.4, 1.2 Hz, 1H), 6.60 (dd, J = 7.5, 1.8 Hz, 1H), 4.75 (s, 2H), 3.81 (s, 2H), 2.39 (s, 3H). **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.6, 155.8, 139.6, 137.5, 131.8, 130.8, 130.4, 127.6, 121.3, 119.4, 118.0, 51.5, 41.2, 21.1; **HRMS (ESI)** m/z: cacld for $C_{16}H_{16}ClNO_2$ $[M+H]^+$ 290.0948, Found 290.0941.

**2-chloro-N-(2-hydroxybenzyl)-N-(4-methoxyphenyl)acetamide (1e).**



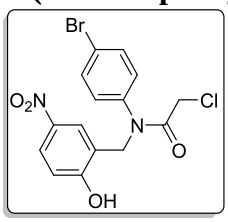
White Solid, (73%). mp: 136-138 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 9.05 (s, 1H), 7.22-7.19 (m, 1H), 6.99 – 6.94 (m, 3H), 6.93 – 6.89 (m, 2H), 6.68 (td, J = 7.4, 1.3 Hz, 1H), 6.61 (dd, J = 7.5, 1.8 Hz, 1H), 4.73 (s, 2H), 3.82 (s, 3H), 3.81 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.8, 160.0, 155.8, 132.7, 131.8, 130.4, 129.0, 121.2, 119.4, 117.9, 115.2, 55.5, 51.6, 41.3; **HRMS (ESI)** m/z: cacld for $C_{16}H_{16}ClNO_3$ $[M+H]^+$ 306.0897, Found 306.0882.

**2-chloro-N-(3,5-dimethoxyphenyl)-N-(2-hydroxybenzyl)acetamide (1f).**



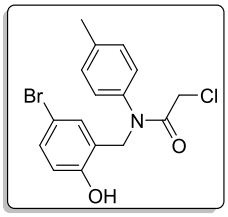
White Solid, (89%), mp: 200-202 °C.**$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 9.04 (s, 1H), 7.24 – 7.17 (m, 1H), 6.96 (d, J = 8.1 Hz, 1H), 6.73 – 6.67 (m, 2H), 6.49 (t, J = 2.3 Hz, 1H), 6.18 (d, J = 2.3 Hz, 2H), 4.74 (s, 2H), 3.89 (s, 2H), 3.72 (s, 6H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.38, 161.68, 155.82, 141.77, 132.04, 130.52, 121.41, 119.48, 118.01, 105.94, 101.26, 55.62, 51.19, 41.24; **HRMS (ESI)** m/z: cacld for $C_{17}H_{18}ClNO_4$ $[M+H]^+$ 336.1003, Found 336.1017.

**N-(4-bromophenyl)-2-chloro-N-(2-hydroxy-5-nitrobenzyl)acetamide (1g).**



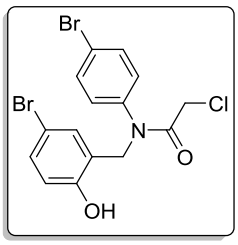
White Solid, (88%). mp: 159-161 °C. $^{1}$**H NMR (600 MHz, DMSO)** δ 11.17 (s, 1H), 8.07 (s, 1H), 8.01 (dd, J = 9.0, 2.9 Hz, 1H), 7.57 (d, J = 8.2 Hz, 2H), 7.28 (d, J = 8.2 Hz, 2H), 6.91 (d, J = 9.0 Hz, 1H), 4.86 (s, 2H), 4.11 (s, 2H); **$^{13}$C NMR (151 MHz, DMSO)** δ 165.8, 161.7, 140.0, 139.4, 132.5, 130.3, 125.6, 125.1, 123.8, 121.4, 115.3, 47.3, 42.5; **HRMS (ESI)** m/z: cacld for $C_{15}H_{12}BrClN_2O_4$ $[M+H]^+$ 398.9747, Found 398.9759.

**N-(5-bromo-2-hydroxybenzyl)-2-chloro-N-(p-tolyl)acetamide (1h).**



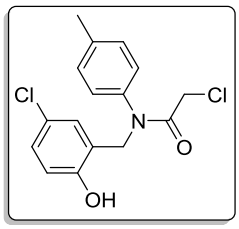
White Solid, (80%). mp: 201-203 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 9.23 (s, 1H), 7.29 (dd, J = 8.7, 2.5 Hz, 1H), 7.27 – 7.24 (m, 2H), 6.96 – 6.92 (m, 2H), 6.86 (d, J = 8.7 Hz, 1H), 6.73 (d, J = 2.5 Hz, 1H), 4.68 (s, 2H), 3.81 (s, 2H), 2.40 (s, 3H);**$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.9, 155.2, 139.9, 137.2, 133.9, 133.2, 131.0, 127.5, 123.4, 120.0, 111.0, 51.0, 41.2, 21.2; **HRMS (ESI)** m/z: cacld for $C_{16}H_{15}BrClNO_2$ $[M+H]^+$ 368.0053, Found 368.0067.

**N-(5-bromo-2-hydroxybenzyl)-N-(4-bromophenyl)-2-chloroacetamide (1i).**



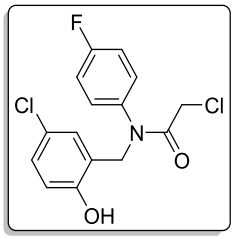
White Solid, (72%). mp: 128-130 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 9.02 (s, 1H), 7.63 – 7.59 (m, 2H), 7.31 (dd, J = 8.7, 2.5 Hz, 1H), 6.99 – 6.96 (m, 2H), 6.86 (d, J = 8.7 Hz, 1H), 6.72 (d, J = 2.5 Hz, 1H), 4.68 (s, 2H), 3.79 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.75, 155.11, 138.84, 133.84, 133.74, 133.50, 129.56, 124.01, 122.93, 120.12, 111.20, 50.99, 40.94; **HRMS (ESI)** m/z: cacld for $C_{15}H_{12}Br_2ClNO_2$ $[M+H]^+$ 431.9002, Found 431.8991.

**2-chloro-N-(5-chloro-2-hydroxybenzyl)-N-(p-tolyl)acetamide (1j).**



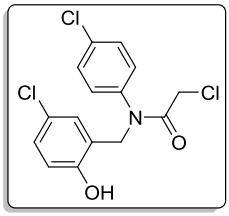
White Solid, (82%). mp: 131-133 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 9.20 (s, 1H), 7.27 – 7.24 (m, 2H), 7.16 (dd, J = 8.7, 2.6 Hz, 1H), 6.96 – 6.92 (m, 2H), 6.91 (d, J = 8.7 Hz, 1H), 6.59 (d, J = 2.6 Hz, 1H), 4.69 (s, 2H), 3.81 (s, 2H), 2.40 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.9, 154.6, 139.9, 137.3, 131.0, 131.0, 130.3, 127.5, 123.8, 122.8, 119.5, 51.1, 41.2, 21.2; **HRMS (ESI)** m/z: cacld for $C_{16}H_{15}Cl_2NO_2$ $[M+H]^+$ 324.0558, Found 324.0551.

**2-chloro-N-(5-chloro-2-hydroxybenzyl)-N-(4-fluorophenyl)acetamide (1k).**



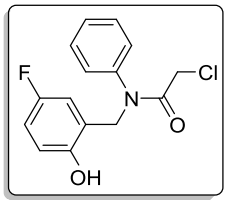
White Solid, (89%). mp: 120-122 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 8.95 (s, 1H), 7.09 – 7.06 (m, 2H), 7.06 – 7.04 (m, 2H), 7.03 – 7.00 (m, 1H), 6.75 (d, J = 8.7 Hz, 1H), 6.57 (d, J = 2.7 Hz, 1H), 4.64 (s, 2H), 3.76 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.9, 162.8 (d, J = 251.4 Hz), 154.5, 135.8 (d, J = 3.3 Hz), 130.9, 130.5, 129.8 (d, J = 8.8 Hz), 124.0, 122.4, 119.5, 117.5 (d, J = 23.0 Hz), 51.1, 40.9; **$^{19}$F NMR (565 MHz, CDCl3)** δ -110.34; **HRMS (ESI)** m/z: cacld for $C_{15}H_{12}Cl_2FNO_2$ $[M+H]^+$ 328.0307, Found 328.0314.

**2-chloro-N-(5-chloro-2-hydroxybenzyl)-N-(4-chlorophenyl)acetamide (1l).**



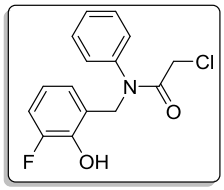
White Solid, (69%). mp: 116-118 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 8.99 (s, 1H), 7.47 – 7.43 (m, 2H), 7.17 (dd, J = 8.7, 2.6 Hz, 1H), 7.06 – 7.01 (m, 2H), 6.91 (d, J = 8.7 Hz, 1H), 6.58 (d, J = 2.6 Hz, 1H), 4.69 (s, 2H), 3.80 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.8, 154.6, 138.3, 135.9, 130.9, 130.7, 130.6, 129.3, 124.1, 122.3, 119.6, 51.1, 40.9; **HRMS (ESI)** m/z: cacld for $C_{15}H_{12}Cl_3NO_2$ $[M+H]^+$ 344.0012, Found 344.0029.

**2-chloro-N-(5-fluoro-2-hydroxybenzyl)-N-phenylacetamide (1m).**



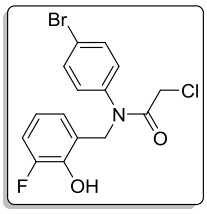
White Solid, (90%). mp: 108-110 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 8.89 (s, 1H), 7.45 – 7.38 (m, 3H), 7.07 – 7.01 (m, 2H), 6.90 – 6.84 (m, 2H), 6.26 (dt, J = 8.6, 2.0 Hz, 1H), 4.68 (s, 2H), 3.76 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.7, 155.8 (d, J = 237.1 Hz), 151.9 (d, J = 2.6 Hz), 140.1, 130.5, 129.7, 127.9, 122.1 (d, J = 7.1 Hz), 119.1 (d, J = 7.7 Hz), 117.4 (d, J = 22.9 Hz), 117.0 (d, J = 23.2 Hz), 51.2, 41.3; **HRMS (ESI)** m/z: cacld for $C_{15}H_{13}ClFNO_2$ $[M+H]^+$ 294.0697, Found 294.0709.

**2-chloro-N-(3-fluoro-2-hydroxybenzyl)-N-phenylacetamide (1n).**



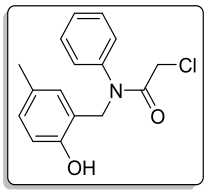
White Solid, (86%). mp: 121-123 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 9.18 (s, 1H), 7.51 – 7.47 (m, 3H), 7.11 (dd, J = 6.3, 3.1 Hz, 2H), 7.06 (ddd, J = 10.2, 8.1, 1.6 Hz, 1H), 6.66 (td, J = 7.9, 4.7 Hz, 1H), 6.45 (d, J = 7.6 Hz, 1H), 4.84 (s, 2H), 3.86 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.8, 152.6 (d, J = 237.4 Hz), 144.2 (d, J = 2.4 Hz), 140.1, 130.3, 129.6, 127.9, 126.6 (d, J = 7.6 Hz), 123.9, 119.2 (d, J = 23.7 Hz), 116.8 (d, J = 23.2 Hz), 50.9, 41.2; **HRMS (ESI)** m/z: cacld for $C_{15}H_{13}ClFNO_2$ $[M+H]^+$ 294.0697, Found 294.0689.

**N-(4-bromophenyl)-2-chloro-N-(3-fluoro-2-hydroxybenzyl)acetamide (1o).**



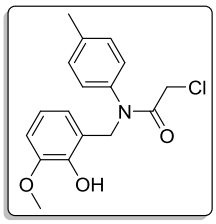
White Solid, (69%). mp: 144-146 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 7.58 – 7.54 (m, 2H), 7.20 – 7.13 (m, 3H), 7.09 – 7.04 (m, 1H), 6.98 (dt, J = 7.6, 1.4 Hz, 1H), 4.94 (s, 2H), 4.90 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.1, 153.9 (d, J = 237.1 Hz), 144.9 (d, J = 2.3 Hz), 142.5, 132.6, 130.7, 129.7, 124.2 (d, J = 7.1 Hz), 123.6 (d, J = 7.8 Hz), 121.0, 117.5 (d, J = 23.7 Hz), 72.4, 52.3; **HRMS (ESI)** m/z: cacld for $C_{15}H_{12}BrClFNO_2$ $[M+H]^+$ 371.9802, Found 371.0976.

**2-chloro-N-(2-hydroxy-5-methylbenzyl)-N-phenylacetamide (1p).**



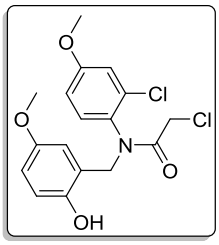
White Solid, (67%), mp: 109-111 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 8.88 (s, 1H), 7.51 – 7.46 (m, 3H), 7.15 – 7.09 (m, 2H), 7.04 (dd, J = 8.2, 2.2 Hz, 1H), 6.90 (d, J = 8.2 Hz, 1H), 6.43 (d, J = 2.2 Hz, 1H), 4.77 (s, 2H), 3.84 (s, 2H), 2.14 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.4, 153.5, 140.3, 132.2, 131.0, 130.2, 129.5, 128.6, 128.0, 121.0, 120.2, 117.8, 115.9, 77.3, 77.1, 76.9, 51.5, 41.4, 20.3; **HRMS (ESI)** m/z: cacld for $C_{16}H_{16}ClNO_2$ $[M+H]^+$ 290.0948, Found 290.0932.

**2-chloro-N-(2-hydroxy-3-methoxybenzyl)-N-(p-tolyl)acetamide (1q).**



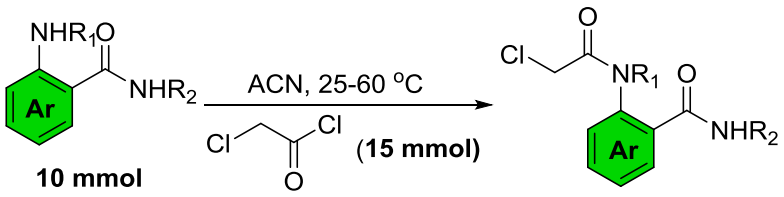
White Solid, (77%). mp: 150-152 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 7.98 (s, 1H), 7.19 – 7.14 (m, 2H), 6.96 – 6.91 (m, 2H), 6.80 (dd, J = 8.1, 1.5 Hz, 1H), 6.67 (t, J = 7.9 Hz, 1H), 6.44 (dd, J = 7.7, 1.5 Hz, 1H), 4.83 (s, 2H), 3.85 (s, 3H), 3.81 (s, 2H), 2.36 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 167.9, 148.2, 144.9, 139.1, 137.9, 130.5, 127.7, 123.1, 122.0, 119.2, 111.4, 56.0, 50.0, 41.4, 21.1; **HRMS (ESI)** m/z: cacld for $C_{17}H_{18}ClNO_3$ $[M+H]^+$ 320.1053, Found 320.1049.

**2-chloro-N-(2-chloro-4-methoxyphenyl)-N-(2-hydroxy-5-methoxybenzyl)acetamide (1r).**



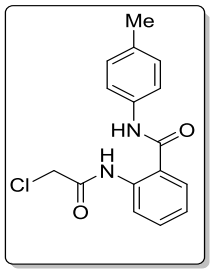
White Solid, (88%). mp: 132-134 °C. **$^1$H NMR (600 MHz, DMSO)** δ 11.17 (s, 1H), 8.08 (d, J = 2.9 Hz, 1H), 8.01 (dd, J = 8.9, 2.9 Hz, 1H), 6.98 – 6.86 (m, 3H), 6.79 (dd, J = 8.5, 2.5 Hz, 1H), 4.85 (s, 2H), 4.13 (s, 2H), 3.72 (s, 3H), 3.69 (s, 3H); **$^{13}$C NMR (150 MHz, DMSO)** δ 166.2, 161.7, 148.9, 148.5, 139.4, 133.2, 125.4, 124.9, 124.3, 120.1, 115.3, 111.8, 111.6, 55.5, 55.5, 47.4, 42.7; **HRMS (ESI)** m/z: cacld for $C_{17}H_{17}Cl_2NO_4$ $[M+H]^+$ 370.0613, Found 370.0601.

***General Procedure for the synthesis of 2-(2-chloroacetamido) benzamides (3a-j):***



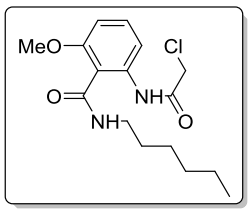

To a 150-mL round-bottom flask containing 50 mL of acetonitrile and equipped with a stir bar, 2-aminobenzamides (10 mmol) and chloroacetyl chloride (15 mmol, 1.19 mL) were added. The reaction mixture was stirred at 25 °C for 1 hour, then the temperature was increased to 60 °C and stirring continued until the secondary amine was consumed. The progress of the reaction was monitored by TLC. Upon completion, the reaction was quenched with $NaHCO_3$ solution. The reaction mixture was transferred to a separatory funnel and extracted with ethyl acetate (3 x 20 mL). The combined organic layers were washed with brine, dried over $MgSO_4$, filtered, and concentrated under reduced pressure. The crude mixture was then purified via column chromatography using hexane/ethyl acetate (5:1) to afford the 2-(2-chloroacetamido) benzamides as the product.

**2-(2-chloroacetamido)-N-(p-tolyl)benzamide (3a).**



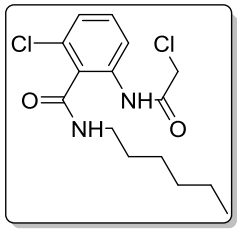

White Solid, (92%). mp: 193-195°C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 11.51 (s, 1H), 8.49 (dd, J = 8.4, 1.1 Hz, 1H), 8.06 (s, 1H), 7.79 – 7.77 (m, 1H), 7.58 (dd, J = 7.9, 1.5 Hz, 1H), 7.49 – 7.46 (m, 2H), 7.22 – 7.18 (m, 2H), 7.13 (td, J = 7.6, 1.2 Hz, 1H), 4.13 (s, 2H), 2.34 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 166.7, 162.1, 146.8, 140.0, 138.2, 134.8, 132.6, 130.5, 128.31, 126.7, 123.8, 120.8, 43.2, 20.9; **HRMS (ESI)** m/z: cacld for $C_{16}H_{15}ClN_2O_2$ $[M+H]^+$ 303.0900, Found 303.0889.

**2-(2-chloroacetamido)-N-hexyl-6-methoxybenzamide (3b).**



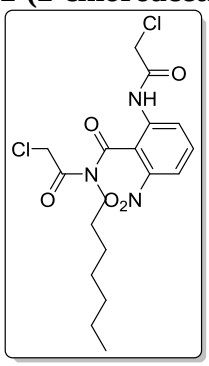

White Solid, (88%). mp: 199-202 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 11.44 (s, 1H), 8.42 (d, J = 9.1 Hz, 1H), 7.01 (dd, J = 9.1, 2.9 Hz, 1H), 6.98 (d, J = 2.9 Hz, 1H), 6.37 (t, J = 5.8 Hz, 1H), 4.17 (s, 2H), 3.84 (s, 3H), 3.44 (td, J = 7.3, 5.8 Hz, 2H), 1.66 – 1.61 (m, 2H), 1.43 – 1.38 (m, 2H), 1.38 – 1.32 (m, 4H), 0.95 – 0.88 (m, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.2, 164.9, 155.4, 131.2, 123.6, 123.1, 116.1, 113.0, 77.3, 77.0, 76.8, 55.7, 43.1, 40.2, 31.5, 29.4, 26.7, 22.6, 14.0; **HRMS (ESI)** m/z: cacld for $C_{16}H_{23}ClN_2O_3$ $[M+H]^+$ 327.1475, Found 327.1486.

**2-chloro-6-(2-chloroacetamido)-N-hexylbenzamide (3c).**



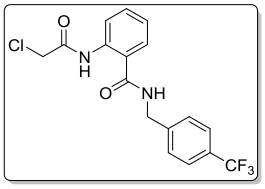
White Solid, (73%). mp: 149-151 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 11.77 (s, 1H), 8.62 – 8.54 (m, 1H), 7.51 – 7.42 (m, 2H), 6.22 (s, 1H), 4.19 (s, 2H), 3.47 (td, J = 7.4, 5.8 Hz, 2H), 1.65 (p, J = 7.4 Hz, 2H), 1.42 (ddt, J = 14.9, 11.2, 5.3 Hz, 2H), 1.36 (h, J = 4.7 Hz, 4H), 0.96 – 0.89 (m, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 167.3, 165.3, 136.9, 132.2, 128.8, 126.4, 123.0, 123.0, 77.2, 77.0, 76.8, 43.2, 40.3, 31.5, 29.4, 26.6, 22.6, 14.0; **HRMS (ESI)** m/z: cacld for $C_{15}H_{20}Cl_2N_2O_2$ $[M+H]^+$ 331.0980, Found 331.0965.

**2-(2-chloroacetamido)-N-(2-chloroacetyl)-N-heptyl-6-nitrobenzamide (3d).**



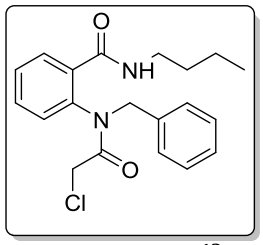
White Solid, (66%). mp: 130-132 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 12.35 (s, 1H), 8.88 (d, J = 9.2 Hz, 1H), 8.43 (d, J = 2.5 Hz, 1H), 8.37 (dd, J = 9.3, 2.6 Hz, 1H), 6.44 (s, 2H), 4.23 (s, 2H), 3.55 – 3.49 (m, 2H), 1.70 (p, J = 7.5 Hz, 2H), 1.49 – 1.29 (m, 8H), 0.96 – 0.92 (m, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 166.7, 165.9, 144.2, 142.5, 127.6, 122.2, 121.5, 121.0, 77.2, 77.0, 76.8, 43.2, 40.5, 31.4, 29.3, 26.6, 22.5, 14.0; **HRMS (ESI)** m/z: cacld for $C_{18}H_{23}Cl_2N_3O_5$ $[M+H]^+$ 432.1093, Found 432.1102.

**2-(2-chloroacetamido)-N-(4-(trifluoromethyl)benzyl)benzamide (3e).**



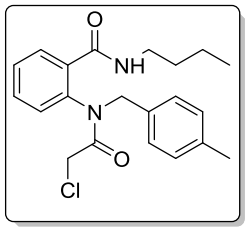
White Solid, (81%). mp: 177-179 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 11.77 (s, 1H), 8.56 (d, J = 8.3 Hz, 1H), 7.60 (d, J = 8.0 Hz, 2H), 7.52 – 7.44 (m, 4H), 7.13 – 7.10 (m, 1H), 6.73 (s, 1H), 4.68 (d, J = 5.9 Hz, 2H), 4.15 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 168.6, 165.3, 141.7, 138.5, 132.9, 130.2, 130.0, 128.1, 126.5, 125.8, 125.8, 125.8, 125.8, 124.9, 123.8, 123.1, 121.8, 120.9, 77.2, 77.0, 76.8, 43.5, 43.2, 41.0; **HRMS (ESI)** m/z: cacld for $C_{17}H_{14}ClF_3N_2O_2$ $[M+H]^+$ 371.0774, Found 371.0789.

**2-(N-benzyl-2-chloroacetamido)-N-butylbenzamide (3f).**



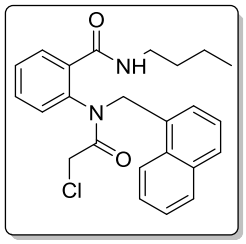
White Solid, (66%). mp: 150-152 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 7.46 (dd, J = 7.3, 1.9 Hz, 1H), 7.43 – 7.35 (m, 2H), 7.24 – 7.17 (m, 3H), 7.17 – 7.07 (m, 2H), 7.04 (dd, J = 7.6, 1.5 Hz, 1H), 5.39 (t, J = 5.8 Hz, 1H), 4.88 (d, J = 14.1 Hz, 1H), 4.82 (d, J = 14.1 Hz, 1H), 4.07 (d, J = 13.0 Hz, 1H), 3.85 (d, J = 13.0 Hz, 1H), 3.32 – 3.23 (m, 1H), 2.99 (dtd, J = 12.4, 7.2, 5.0 Hz, 1H), 1.41 (dtd, J = 8.8, 7.2, 5.4 Hz, 2H), 1.35 – 1.28 (m, 2H), 0.91 (t, J = 7.3 Hz, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 167.0, 166.8, 138.2, 136.3, 135.5, 131.5, 130.4, 129.6, 129.5, 129.1, 128.7, 128.5, 127.8, 126.6, 77.2, 77.0, 76.8, 53.8, 42.4, 39.9, 31.3, 20.1, 13.7; **HRMS (ESI)** m/z: cacld for $C_{20}H_{23}ClN_2O_2$ $[M+H]^+$ 359.1526, Found 359.1539.

**N-butyl-2-(2-chloro-N-(4-methylbenzyl)acetamido)benzamide (3g).**



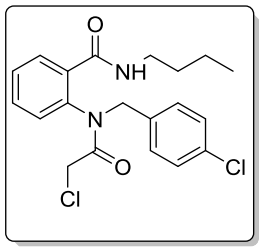
White Solid, (83%). mp: 133-135 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 7.45 – 7.42 (m, 1H), 7.35 – 7.29 (m, 2H), 6.94 (dd, J = 7.7, 4.7 Hz, 5H), 6.09 (t, J = 17.5 Hz, 1H), 4.85 (dd, J = 14.2, 2.1 Hz, 1H), 4.64 – 4.53 (m, 1H), 4.00 (dd, J = 13.8, 2.1 Hz, 1H), 3.78 (dd, J = 13.2, 1.8 Hz, 1H), 3.23 (dq, J = 13.6, 6.9 Hz, 1H), 2.98 (dtd, J = 12.7, 7.2, 5.2 Hz, 1H), 2.22 (d, J = 2.5 Hz, 3H), 1.40 (dtd, J = 8.7, 7.2, 5.4 Hz, 2H), 1.27 (p, J = 7.4 Hz, 2H), 0.88 – 0.84 (m, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 167.1, 167.0, 138.1, 137.3, 135.4, 133.2, 131.3, 130.2, 129.4, 129.4, 129.1, 128.7, 53.3, 42.6, 39.9, 31.3, 21.1, 20.1, 13.7; **HRMS (ESI)** m/z: cacld for $C_{21}H_{25}ClN_2O_2$ $[M+H]^+$ 373.1683, Found 373.1669.

**N-butyl-2-(2-chloro-N-(naphthalen-1-ylmethyl)acetamido)benzamide (3h).**



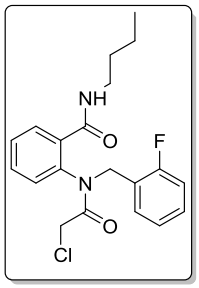
White Solid, (63%). mp: 167-169 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 8.19 (dd, J = 8.4, 1.2 Hz, 1H), 7.79 (dd, J = 8.2, 1.4 Hz, 1H), 7.71 (d, J = 8.2 Hz, 1H), 7.52 (ddd, J = 8.4, 6.8, 1.4 Hz, 1H), 7.46 (ddd, J = 8.1, 6.8, 1.2 Hz, 1H), 7.37 – 7.26 (m, 3H), 7.16 (dd, J = 8.2, 6.9 Hz, 1H), 7.02 (dd, J = 7.8, 1.3 Hz, 1H), 6.87 (dd, J = 7.0, 1.2 Hz, 1H), 5.67 (d, J = 14.3 Hz, 1H), 5.06 (d, J = 14.3 Hz, 1H), 4.76 (t, J = 5.7 Hz, 1H), 4.16 (d, J = 13.2 Hz, 1H), 3.87 (d, J = 13.1 Hz, 1H), 2.85 – 2.78 (m, 1H), 2.19 – 2.13 (m, 1H), 1.18 (dqd, J = 9.6, 5.2, 2.7 Hz, 4H), 0.84 (td, J = 5.8, 2.6 Hz, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 166.8, 166.5, 137.8, 136.1, 133.6, 132.2, 131.9, 131.4, 130.2, 129.1, 129.1, 128.9, 128.3, 128.1, 127.0, 126.2, 124.8, 124.6, 77.2, 77.0, 76.8, 50.8, 42.8, 39.3, 31.0, 20.0, 13.7; **HRMS (ESI)** m/z: cacld for $C_{24}H_{25}ClN_2O_2$ $[M+H]^+$ 409.1683, Found 409.1698.

**N-butyl-2-(2-chloro-N-(4-chlorobenzyl)acetamido)benzamide (3i).**



White Solid, (71%). mp: 115-117 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 7.47 – 7.36 (m, 3H), 7.20 – 7.13 (m, 2H), 7.09 – 7.03 (m, 2H), 7.02 (dd, J = 7.7, 1.4 Hz, 1H), 5.85 – 5.41 (m, 1H), 4.82 – 4.71 (m, 2H), 4.05 (d, J = 13.0 Hz, 1H), 3.82 (d, J = 13.0 Hz, 1H), 3.33 – 3.26 (m, 1H), 3.00 (dtd, J = 12.6, 7.3, 5.0 Hz, 1H), 1.44 (dtd, J = 8.8, 7.3, 5.5 Hz, 2H), 1.36 – 1.29 (m, 2H), 0.91 (t, J = 7.3 Hz, 3H);**$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 167.2, 166.7, 138.2, 135.4, 134.9, 133.7, 131.6, 131.0, 130.5, 129.3, 128.6, 128.5, 77.3, 77.1, 76.8, 53.0, 42.4, 39.9, 31.4, 20.1, 13.7; **HRMS (ESI)** m/z: cacld for $C_{20}H_{22}Cl_2N_2O_2$ $[M+H]^+$ 393.1137, Found 393.1149.

**N-butyl-2-(2-chloro-N-(2-fluorobenzyl)acetamido)benzamide (3j).**

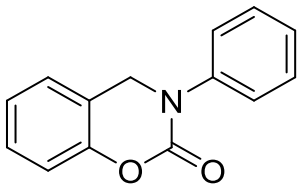



White Solid, (85%). mp: 140-142 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 7.48 – 7.41 (m, 1H), 7.40 – 7.30 (m, 3H), 7.16 (tdd, J = 7.4, 5.2, 1.8 Hz, 1H), 7.07 – 6.96 (m, 2H), 6.84 (ddd, J = 9.6, 8.2, 1.2 Hz, 1H), 6.05 – 5.73 (m, 1H), 4.86 (dd, J = 236.3, 14.5 Hz, 2H), 3.93 (dd, J = 136.1, 13.1 Hz, 2H), 3.32 – 3.06 (m, 2H), 1.46 (tt, J = 12.7, 6.0 Hz, 2H), 1.35 – 1.28 (m, 2H), 0.89 (t, J = 7.4 Hz, 3H);**$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 167.3, 166.9, 161.8, 160.1, 138.2, 135.2, 132.2, 132.1, 131.3, 130.4, 130.2, 129.6, 129.6, 129.2, 128.9, 128.6, 128.4, 124.5, 124.4, 124.3, 124.3, 123.3, 123.2, 115.1, 114.9, 77.3, 77.1, 76.9, 46.3, 42.5, 39.8, 31.4, 20.1, 13.7; **HRMS (ESI)** m/z: cacld for $C_{20}H_{22}ClFN_2O_2$ $[M+H]^+$ 377.1432, Found 377.1420.

***General Procedure for the synthesis of 3,4-dihydro-2H-benzo[e][1,3]oxazin-2-ones and quinazoline-2,4(1H,3H)-diones (2a-r, and 4a-j):***

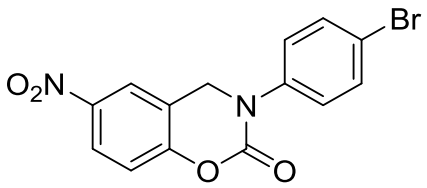
Chloroacetylated starting materials **1a–r** or **3a–j** (0.5 mmol) were mixed with 4-methylpyridine (0.625 mmol) and NaI (75.0 mg, 0.5 mmol) in ACN (2.5 mL) in a 5 mL round-bottom flask. The reaction mixture was stirred at 85 °C for 5 hours. After this time, the mixture was cooled to room temperature, followed by the addition of $K_2$ $CO_3$ (138.20 mg, 1.0 mmol). The temperature was then increased to 85 °C and maintained until the reaction was complete. Reaction progress was monitored by thin-layer chromatography (TLC) using hexane/ethyl acetate (5:1) as the eluent. Upon completion, the reaction mixture was cooled to room temperature, water was added, and the mixture was extracted with EtOAc (3 × 10 mL). The organic layers were combined, dried over anhydrous $MgSO_4$ , filtered, and the solvent was removed under vacuum. The resulting crude product was purified by flash column chromatography on silica gel using hexane/ethyl acetate (7:1) as the eluent, yielding the corresponding products **2a–r** and **4a–j**.

**3-phenyl-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2a).**



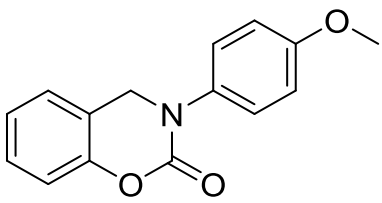

White solid (99 mg, 88%). M.p.: 117 °C – 119 °C. **$^{1}$HNMR (600 MHz, $CDCl_3$)** δ 7.29-7.19 (m, 3 H), 7.16 (d, J = 7.4 Hz, 1H), 6.95-6.86 (m, 3H), 6.83 (d, J = 7.9 Hz, 2H), 4.40 (s, 2H). **$^{13}$C NMR (151 MHz, CDCl3)** δ 156.7, 147.2, 129.3, 129.2, 128.7, 125.4, 123.0, 120.7, 120.1, 116.6, 115.8, 48.6. calcd for $C_{14}H_{11}NO_2$ $[M+H]^+$: 226.0868, found: 226.0851.

**3-(4-bromophenyl)-6-nitro-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2b).**



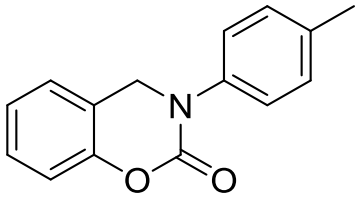

Pale yellow solid (120 mg, 69%). M.p.: 111 °C – 113 °C. **$^{1}$HNMR (600 MHz, CDCl$_3$)** 1H NMR (600 MHz, CDCl3) δ 8.13 – 8.08 (m, 2H), 7.36 – 7.31 (m, 2H), 6.91 (d, J = 8.5 Hz, 1H), 6.73 – 6.68 (m, 2H), 4.48 (s, 2H); **$^{13}$C NMR (151 MHz, CDCl3)** δ 162.7, 145.1, 140.9, 132.4, 125.5, 124.6, 122.7, 117.8, 117.1, 114.1, 48.5. calcd for $C_{14}H_9BrN_2O_4$ $[M+H]^+$, 348.9824, found: 348.9831.

**3-(4-methoxyphenyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2c).**



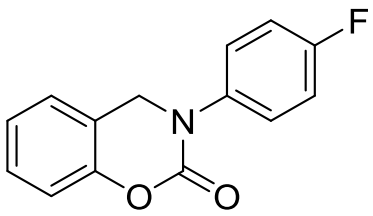

Pale yellow solid (99.5 mg, 78 %). M.p.: 115 °C – 117 °C. **$^{1}$H NMR (600 MHz, Chloroform-d)** δ 7.23 – 7.17 (m, 1H), 7.12 – 7.08 (m, 1H), 6.88 (dd, J = 8.2, 1.2 Hz, 1H), 6.87 – 6.81 (m, 1H), 6.83 – 6.77 (m, 4H), 4.36 (s, 2H), 3.74 (s, 3H). **$^{13}$C NMR (151 MHz, Chloroform-d)** δ 157.1, 140.3, 129.1, 128.5, 122.7, 119.8, 117.8, 116.6, 114.7, 55.6, 50.2. **HRMS (ESI)**: calcd for $C_{15}H_{13}NO_3$ $[M+H]^+$, 256.0974, found: 256.0989.

**3-(p-tolyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2d).**




White solid (103 mg, 86%). M.p.: 116 °C – 118 °C. **$^{1}$H NMR (600 MHz, Chloroform-d)** δ 7.24 – 7.18 (m, 1H), 7.13 (dd, J = 7.5, 1.6 Hz, 1H), 7.05 (d, J = 8.1 Hz, 2H), 6.92 – 6.84 (m, 2H), 6.79 – 6.73 (m, 2H), 4.38 (s, 2H), 2.28 (s, 3H). **$^{13}$C NMR (151 MHz, Chloroform-d)** δ 156.95, 144.53, 130.48, 129.87, 129.16, 128.65, 122.90, 119.94, 116.64, 116.27, 49.36, 20.55. **HRMS (ESI)**: calcd for $C_{15}H_{14}NO_2$ $[M+H]^+$: 240.1025, found: 240.1017.

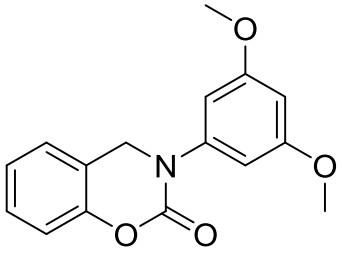
**3-(4-fluorophenyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2e).**




Pale yellow solid (106 mg, 87%). M.p.: 113 °C – 115 °C. **$^{1}$H NMR (600 MHz, Chloroform-d)** δ 7.24 – 7.18 (m, 1H), 7.13 (dd, J = 7.5, 1.6 Hz, 1H), 6.95 – 6.90 (m, 2H), 6.90 – 6.84 (m, 2H), 6.80 – 6.75 (m, 2H), 4.36 (s, 2H). **$^{13}$C NMR (151 MHz, Chloroform-d)** δ 157.7 (d, J = 239.2 Hz), 156.7, 143.1 (d, J = 2.4 Hz), 129.2, 128.7,

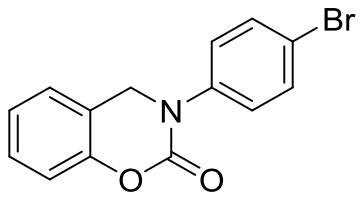
122.6, 120.0, 117.2 (d, J = 7.7 Hz), 116.6, 115.9 (d, J = 22.5 Hz), 49.4. **HRMS (ESI):** calcd for $C_{14}H_{10}FNO_2$ $[M+H]^+$: 244.0774: found: 244.0792.

**3-(3,5-dimethoxyphenyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2f).**



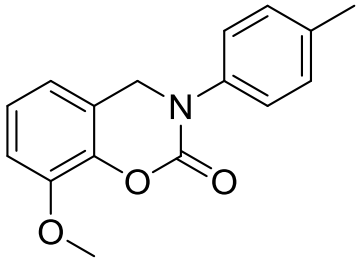
Brown oil (94 mg, 66%). **$^1$H NMR (600 MHz, Chloroform-d)** δ 7.22 – 7.16 (m, 1H), 7.13 (dd, J = 7.5, 1.6 Hz, 1H), 6.90 – 6.82 (m, 2H), 6.03 (t, J = 2.2 Hz, 1H), 6.00 (d, J = 2.1 Hz, 2H), 4.35 (s, 2H), 3.72 (s, 6H). **$^{13}$C NMR (151 MHz, Chloroform-d)** δ 161.6, 156.4, 149.0, 129.2, 128.8, 122.8, 120.1, 116.5, 94.6, 92.7, 55.2, 48.3. **HRMS (ESI)**: calcd for $C_{16}H_{15}NO_4$ $[M+H]^+$, 286.1079, found: 286.1069.

**3-(4-bromophenyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2g).**



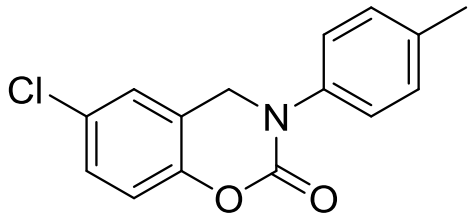
Pale yellow solid (123 mg, 81%). M.p.: 128 °C – 130 °C. **$^1$H NMR (600 MHz, Chloroform-d)** δ 7.28 (d, J = 8.1 Hz, 2H), 7.19 (t, J = 7.6 Hz, 1H), 7.09 (d, J = 7.4 Hz, 1H), 6.91 (d, J = 8.0 Hz, 1H), 6.84 (t, J = 7.4 Hz, 1H), 6.71 (d, J = 8.2 Hz, 2H), 4.33 (s, 2H); **$^{13}$C NMR (151 MHz, Chloroform-d)** δ 156.1, 144.5, 132.2, 129.6, 129.2, 121.7, 120.2, 118.3, 116.4, 114.0, 48.8. **HRMS (ESI)**: calcd for $C_{14}H_{10}BrNO_2$ $[M+H]^+$: 303.9973, found: 303.9986.

**8-methoxy-3-(p-tolyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2h).**



Clear oil (114.5 mg, 85%). **$^1$H NMR (600 MHz, Chloroform-d)** δ 7.00 – 6.96 (m, 2H), 6.85 (dd, J = 8.2, 7.4 Hz, 1H), 6.80 – 6.77 (m, 2H), 6.69 – 6.64 (m, 2H), 4.35 (s, 2H), 3.87 (s, 3H), 2.22 (s, 3H); **$^{13}$C NMR (151 MHz, Chloroform-d)** δ 146.8, 144.9, 144.3, 129.7, 128.2, 124.2, 121.2, 119.5, 114.6, 110.1, 56.0, 45.3, 20.4; **HRMS (ESI)**: calcd for $C_{16}H_{15}NO_3$ $[M+H]^+$: 270.1130, found: 270.1120.

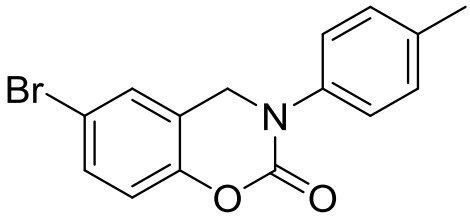
**6-chloro-3-(p-tolyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2i).**



Pale yellow solid (107 mg, 79%). M.p.: 129 °C – 131 °C. **$^1$H NMR (600 MHz, Chloroform-d)** δ 7.14 (dd, J = 8.6, 2.6 Hz, 1H), 7.09 (d, J = 2.6 Hz, 1H), 7.07 – 7.02 (m, 2H), 6.79 (d, J = 8.6 Hz, 1H), 6.76 – 6.71 (m, 2H), 4.34 (s, 2H),

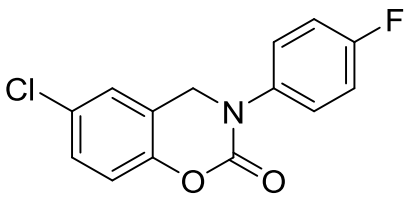
2.26 (s, 3H); **$^{13}$C NMR (151 MHz, Chloroform-d)** δ 155.6, 143.9, 131.0, 129.9, 128.8, 128.1, 124.4, 124.2, 117.9, 116.4, 49.2, 20.5; **HRMS (ESI)**: calcd for $C_{15}H_{12}ClNO_2$ $[M+H]^+$: 274.0635, found: 274.0649.

**6-bromo-3-(p-tolyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2j).**



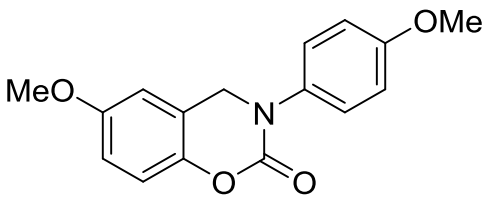

Yellow solid (122 mg, 77%). M.p.: 116 °C – 118 °C. **$^1$H NMR (600 MHz, Chloroform-d)** δ 7.28 (dd, J = 8.6, 2.5 Hz, 1H), 7.23 (d, J = 2.4 Hz, 1H), 7.07 – 7.02 (m, 2H), 6.78 – 6.71 (m, 3H), 4.33 (s, 2H), 2.27 (s, 3H); **$^{13}$C NMR (151 MHz, Chloroform-d)** δ 156.2, 144.0, 131.7, 131.0, 130.9, 129.9, 124.8, 118.4, 116.4, 111.6, 49.1, 20.5; **HRMS (ESI)**: calcd for $C_{15}H_{13}BrNO_2$ $[M+H]^+$, 318.0130, found : 318.0149.

**6-chloro-3-(4-fluorophenyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2k).**



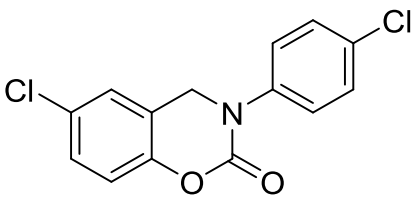

Pale yellow solid (108 mg, 78%). M.p.: 127 °C – 129 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 7.14 (dd, J = 8.6, 2.6 Hz, 1H), 7.09 (d, J = 2.6 Hz, 1H), 6.97 – 6.90 (m, 2H), 6.82 – 6.73 (m, 3H), 4.34 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 157.8 (d, J = 236.5 Hz), 155.49, 142.77 (d, J = 2.5 Hz), 128.9, 128.2, 124.6, 124.0, 118.0, 117.4 (d, J = 7.7 Hz), 116.0 (d, J = 22.5 Hz), 49.2; **HRMS (ESI)**: calcd for $C_{14}H_9ClFNO_2$ $[M+H]^+$, 278.0384, found: 278.0401.

**6-methoxy-3-(4-methoxyphenyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2l).**



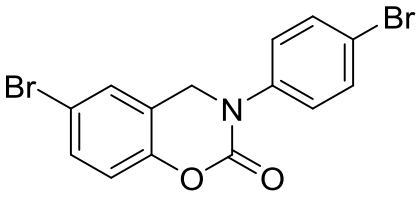

Pale yellow solid (111 mg, 78%). M.p.: 133-135 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 8.10 (dd, J = 8.9, 2.8 Hz, 1H), 8.07 (d, J = 2.7 Hz, 1H), 6.91 (d, J = 8.9 Hz, 1H), 6.75 (d, J = 8.5 Hz, 1H), 6.45 (d, J = 2.6 Hz, 1H), 6.40 (dd, J = 8.5, 2.6 Hz, 1H), 4.48 (s, 2H), 3.81 (s, 3H), 3.80 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 163.5, 149.8, 144.9, 140.6, 139.6, 125.4, 124.4, 122.8, 117.1, 112.2, 108.1, 102.6, 56.3, 55.8, 50.2; **HRMS (ESI)**: calcd for $C_{16}H_{15}NO_4$ [M+H]: 286.1079, found: 286.1070.

**6-chloro-3-(4-chlorophenyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2m).**



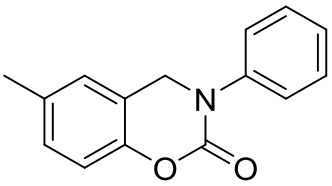
Pale yellow solid (95.5 mg, 65%). M.p.: 137-139 °C – 129 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 7.14 (dd, J = 8.6, 2.6 Hz, 1H), 7.09 (d, J = 2.6 Hz, 1H), 6.96 – 6.90 (m, 2H), 6.83 – 6.73 (m, 3H), 4.32 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 158.7, 157.1, 155.4, 142.7, 142.7, 128.9, 128.2, 124.6, 124.0, 118.0, 117.4, 117.3, 116.1, 115.9, 49.2; **HRMS (ESI)**: calcd for $C_{14}H_9Cl_2NO_2$ $[M+H]^+$: 294.0089, found: 294.0101.

**6-bromo-3-(4-bromophenyl)-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2n).**



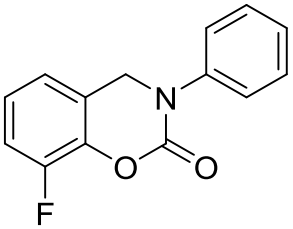
Pale yellow solid (145.5 mg, 76%). M.p.: 140-142 °C – 129 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 7.30 – 7.21 (m, 4H), 6.71 (dd, J = 3.2, 2.1 Hz, 1H), 6.64 – 6.57 (m, 2H), 4.25 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 155.2, 146.0, 132.1, 131.8, 131.2, 125.1, 118.2, 117.0, 112.5, 112.0, 47.2.; **HRMS (ESI)**: calcd for $C_{14}H_9Br_2NO_2$ $[M+H]^+$, 381.9078, found: 381.9069.

**6-methyl-3-phenyl-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2o).**



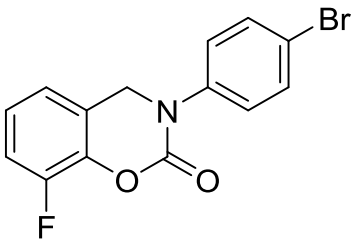
Pale yellow solid (100.5 mg, 84%). M.p.: 113-115 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 7.30 – 7.27 (m, 2H), 7.05 (dd, J = 8.3, 2.2 Hz, 1H), 6.99 (d, J = 2.2 Hz, 1H), 6.96 – 6.91 (m, 1H), 6.89 – 6.85 (m, 2H), 6.82 (d, J = 8.2 Hz, 1H), 4.40 (s, 2H), 2.31 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 154.3, 147.3, 129.6, 129.3, 129.3, 129.2, 122.6, 120.7, 116.4, 115.8, 48.7, 20.5; **HRMS (ESI)**: calcd for $C_{15}H_{13}NO_2$ $[M+H]^+$, 240.1025, found: 240.1039.

**8-fluoro-3-phenyl-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2p).**



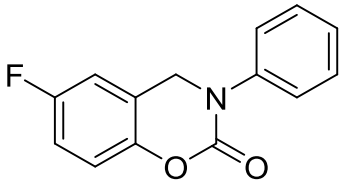
Pale yellow solid (104.5 mg, 86%). M.p.: 125-127 °C. **$^{1}$H NMR (600 MHz, $CDCl_3$)** δ 7.26 (t, J = 7.7 Hz, 2H), 7.05 (dd, J = 10.4, 8.4 Hz, 1H), 7.01 (d, J = 7.6 Hz, 1H), 6.91 (t, J = 7.4 Hz, 1H), 6.86 – 6.79 (m, 3H), 4.47 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 151.4 (d, J = 241.4 Hz), 147.1, 143.9 (d, J = 13.1 Hz), 129.4, 129.3, 126.1, 123.7 (d, J = 3.2 Hz), 120.1, 119.7 (d, J = 7.2 Hz), 115.2, 115.1 (d, J = 18.0 Hz), 46.9; **HRMS (ESI)**: calcd for $C_{14}H_{11}FNO_2$ [M+H]+, 244.0774, found: 244.0789.

**3-(4-bromophenyl)-8-fluoro-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2q).**



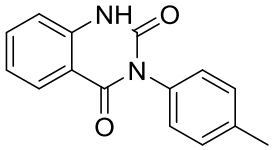

White solid (134 mg, 83%). M.p.: 146-148 °C. **$^1$H NMR (600 MHz, $CDCl_3$)** δ 7.22 – 7.18 (m, 2H), 6.97 – 6.88 (m, 2H), 6.74 (dd, J = 8.0, 5.0 Hz, 1H), 6.57 – 6.53 (m, 2H), 4.32 (s, 2H).; **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 151.3 (d, J = 239.8 Hz), 146.4, 132.0, 126.1, 123.8 (d, J = 3.1 Hz), 120.0 (d, J = 7.2 Hz), 116.1, 115.1 (d, J = 18.2 Hz), 111.3, 45.7; **HRMS (ESI)**: calcd for $C_{14}H_{10}BrFNO_2$ $[M+H]^+$, 321.9879, found: 321.9890.

**6-fluoro-3-phenyl-3,4-dihydro-2H-benzo[e][1,3]oxazin-2-one (2r).**



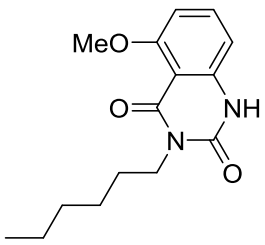

Pale yellow solid (103 mg, 85 %). M.p.: 109-111 °C. **$^1$H NMR (600 MHz, CDCl3)** δ 7.19 (t, J = 4.1 Hz, 2H), 6.84 (dt, J = 23.1, 7.7 Hz, 3H), 6.75 (dd, J = 15.7, 8.5 Hz, 3H), 4.32 (s, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 156.4 (d, J = 237.7 Hz), 152.7 (d, J = 2.2 Hz), 146.8, 129.4, 123.8 (d, J = 7.0 Hz), 121.1, 117.4 (d, J = 7.8 Hz), 115.9, 115.8, 115.3 (d, J = 22.7 Hz), 114.9 (d, J = 23.7 Hz), 48.6; **HRMS (ESI)**: calcd for $C_{14}H_{10}FNO_2$ $[M+H]^+$, 244.0774, found: 244.0759.

**3-(p-tolyl)quinazoline-2,4(1H,3H)-dione (4a).**




White solid (106 mg, 84%). M.p.: 138-140 °C.**$^1$H NMR (600 MHz, CDCl3)** δ 8.36 – 8.33 (m, 1H), 8.15 (s, 1H), 7.81 – 7.76 (m, 2H), 7.54 (ddd, J = 8.2, 6.0, 2.4 Hz, 1H), 7.35 – 7.31 (m, 2H), 7.30 – 7.26 (m, 2H), 2.42 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 160.6, 147.2, 146.4, 139.4, 134.7, 134.6, 130.2, 127.7, 127.2, 127.1, 126.7, 122.2, 21.2; **HRMS (ESI)**: calcd for $C_{15}H_{12}N_2O_2$ $[M+H]^+$, 253.0977, found: 253.0971.

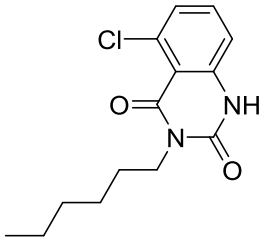
**3-hexyl-5-methoxyquinazoline-2,4(1H,3H)-dione (4b).**




Pale yellow oil (95 mg, 69%).**$^1$H NMR (600 MHz, CDCl3)** δ 9.68 (s, 1H), 7.58 (d, J = 2.9 Hz, 1H), 7.24 (dd, J = 8.8, 2.9 Hz, 1H), 7.05 (dt, J = 8.7, 2.2 Hz, 1H), 4.10 (dd, J = 8.6, 6.6 Hz, 2H), 3.89 (s, 3H), 1.73 (ddt, J = 9.4, 7.7, 3.6 Hz, 2H), 1.43 (t, J = 7.5 Hz, 2H), 1.38 – 1.33 (m, 4H), 0.94 – 0.87 (m, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 162.3, 155.7, 151.4, 132.6, 124.5,

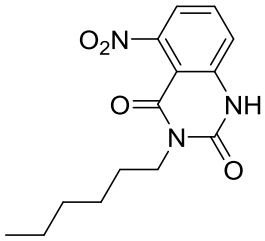
116.3, 115.1, 108.8, 55.8, 41.2, 31.5, 27.8, 26.6, 22.5, 14.0; **HRMS (ESI)**: calcd for $C_{15}H_{20}N_2O_3$ $[M+H]^+$, 277.1552, found: 277.1560.

**3-hexyl-5-chloroquinazoline-2,4(1H,3H)-dione (4c).**



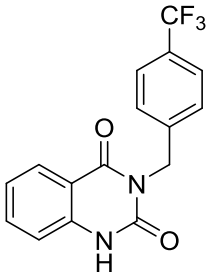
Yellow oil (108 mg, 77%). **$^1$H NMR (600 MHz, CDCl3)** δ 9.89 (s, 1H), 8.04 (d, J = 2.4 Hz, 1H), 7.48 (dd, J = 8.6, 2.4 Hz, 1H), 6.99 (d, J = 8.6 Hz, 1H), 4.02 – 3.96 (m, 2H), 1.68 – 1.59 (m, 2H), 1.41 – 1.21 (m, 6H), 1.02 – 0.63 (m, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 161.2, 151.5, 136.9, 135.0, 128.9, 127.9, 116.4, 115.8, 41.3, 31.4, 27.7, 26.5, 22.5, 14.0; **HRMS (ESI)**: calcd for $C_{14}H_{17}ClN_2O_2$ $[M+H]^+$, 281.1057, found: 281.1070.

**3-hexyl-5-nitroquinazoline-2,4(1H,3H)-dione (4d).**



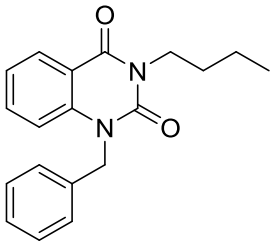
White solid (92 mg, 63%). M.p.: 131-133 °C.**$^1$H NMR (600 MHz, CDCl3)** δ 11.16 (s, 1H), 9.05 (d, J = 2.5 Hz, 1H), 8.49 (dd, J = 8.9, 2.5 Hz, 1H), 7.39 – 7.15 (m, 1H), 4.34 – 4.09 (m, 2H), 1.75 (p, J = 7.6 Hz, 2H), 1.49 – 1.31 (m, 6H), 0.93 (t, J = 6.9 Hz, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 160.6, 152.1, 143.5, 142.7, 129.7, 125.2, 116.1, 114.7, 41.6, 31.4, 27.6, 26.5, 22.5, 14.0; **HRMS (ESI)**: calcd for $C_{14}H_{17}N_3O_4$ $[M+H]^+$, 292.1297, found: 292.1310.

**3-(4-(trifluoromethyl)benzyl)quinazoline-2,4(1H,3H)-dione (4e).**



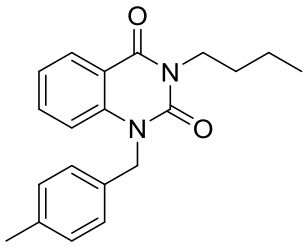
White solid (128 mg, 80%). M.p.: 127-129 °C. **$^1$HNMR (600 MHz, $CDCl_3$)** δ 7.57 (d, J = 7.9 Hz, 2H), 7.42 (d, J = 7.9 Hz, 2H), 7.32 (dd, J = 7.9, 1.5 Hz, 1H), 7.20 (ddd, J = 8.4, 7.1, 1.5 Hz, 1H), 6.67 (dd, J = 8.2, 1.1 Hz, 1H), 6.64 – 6.58 (m, 1H), 6.51 (s, 1H), 4.62 (d, J = 6.0 Hz, 2H); **$^{13}$C NMR (151 MHz, $CDCl_3$)** δ 169.3, 148.9, 142.5, 132.6, 129.7 (q, J = 32.5 Hz), 127.7, 127.0, 125.6 (q, J = 3.8 Hz), 125.4 (q, J = 3.9 Hz), 117.4, 116.6, 115.3, 43.1; **HRMS (ESI)**: calcd for $C_{16}H_{11}F_3N_2O_2$ $[M+H]^+$: 321.0851, found: 321.0864.

**1-benzyl-3-butylquinazoline-2,4(1H,3H)-dione (4f).**



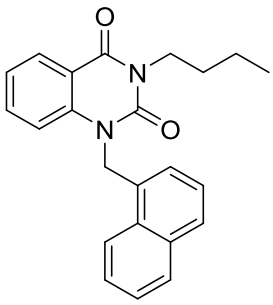
White solid (134 mg, 87%). M.p.: 114-116 °C.**$^{1}$H NMR (600 MHz, CDCl3)** δ 8.19 (dd, J = 7.9, 1.6 Hz, 1H), 7.48 (ddd, J = 8.7, 7.2, 1.7 Hz, 1H), 7.32 – 7.27 (m, 2H), 7.26 – 7.19 (m, 3H), 7.16 (ddd, J = 8.0, 7.2, 0.9 Hz, 1H), 7.08 (dd, J = 8.4, 0.9 Hz, 1H), 5.34 (s, 2H), 4.15 – 4.10 (m, 2H), 1.74 – 1.66 (m, 2H), 1.41 (h, J = 7.4 Hz, 2H), 0.95 (t, J = 7.4 Hz, 3H).**$^{13}$C NMR (151 MHz, CDCl$_3$)** δ 161.6, 151.3, 139.9, 135.8, 134.8, 128.9, 128.9, 127.6, 126.4, 122.9, 115.8, 114.3, 47.2, 41.9, 29.9, 20.2, 13.8; **HRMS (ESI)**: calcd for $C_{19}H_{20}N_2O_2$ $[M+H]^+$, 309.1603, found: 309.1591.

**3-butyl-1-(4-methylbenzyl)quinazoline-2,4(1H,3H)-dione (4g).**



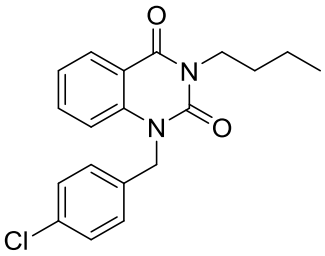
White solid (134 mg, 83%). M.p.: 124-126 °C.**$^{1}$H NMR (600 MHz, CDCl3) δ** 8.20 (dd, J = 7.9, 1.6 Hz, 1H), 7.50 (ddd, J = 8.6, 7.2, 1.7 Hz, 1H), 7.19 – 7.16 (m, 1H), 7.14 – 7.08 (m, 5H), 5.31 (s, 2H), 4.15 – 4.10 (m, 2H), 2.29 (s, 3H), 1.70 (ddt, J = 9.5, 7.7, 3.7 Hz, 2H), 1.42 (h, J = 7.4 Hz, 2H), 0.96 (t, J = 7.4 Hz, 3H); **$^{13}$C NMR (151 MHz, CDCl$_3$) δ** 161.7, 151.3, 139.9, 137.3, 134.8, 132.7, 129.6, 128.9, 126.4, 126.4, 122.9, 115.8, 114.3, 47.0, 41.9, 29.9, 21.0, 20.2, 13.8; **HRMS (ESI)**: calcd for $C_{20}H_{22}N_2O_2$ $[M+H]^+$: 323.1760, found: 323.1734.

**3-butyl-1-(naphthalen-1-ylmethyl)quinazoline-2,4(1H,3H)-dione (4h).**



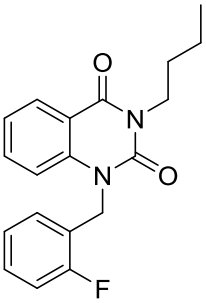
White solid (139 mg, 86%). M.p.: 151-153 °C. **$^{1}$H NMR (600 MHz, CDCl3) δ** 8.26 (dd, J = 7.9, 1.6 Hz, 1H), 8.05 (dd, J = 9.1, 3.2 Hz, 1H), 7.92 – 7.86 (m, 1H), 7.74 (d, J = 7.8 Hz, 1H), 7.63 – 7.50 (m, 2H), 7.37 (tdd, J = 8.0, 3.8, 2.1 Hz, 1H), 7.33 – 7.26 (m, 1H), 7.20 – 7.13 (m, 1H), 6.99 – 6.94 (m, 1H), 6.85 (dd, J = 8.6, 2.6 Hz, 1H), 5.80 (d, J = 4.9 Hz, 2H), 4.22 – 4.12 (m, 2H), 1.82 – 1.69 (m, 2H), 1.45 (h, J = 7.4 Hz, 2H), 0.98 (t, J = 7.4 Hz, 3H); **$^{13}$C NMR (151 MHz, CDCl$_3$) δ** 161.7, 140.0, 134.9, 133.8, 130.4, 130.1, 130.0, 129.1, 128.9, 128.0, 126.5, 126.0, 125.5, 123.0, 122.1, 115.8, 114.5, 45.1, 41.9, 30.0, 20.3, 13.9; **HRMS (ESI)**: calcd for $C_{23}H_{22}N_2O_2$ $[M+H]^+$: 359.1760, found: 359.1743.

**3-butyl-1-(4-chlorobenzyl)quinazoline-2,4(1H,3H)-dione (4i).**



White solid (139 mg, 81%). M.p.: 113-115 °C. **$^1$H NMR (600 MHz, CDCl3) δ** 8.25 (dd, J = 7.9, 1.7 Hz, 1H), 7.56 (ddd, J = 8.7, 7.2, 1.7 Hz, 1H), 7.35 – 7.30 (m, 2H), 7.27 – 7.20 (m, 3H), 7.07 (d, J = 8.4 Hz, 1H), 5.35 (s, 2H), 4.18 – 4.13 (m, 2H), 1.77 – 1.69 (m, 2H), 1.45 (h, J = 7.4 Hz, 2H), 0.99 (t, J = 7.4 Hz, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$) δ** 161.5, 151.3, 139.7, 134.9, 134.3, 133.5, 129.1, 129.1, 129.1, 127.9, 123.1, 115.8, 114.0, 46.7, 41.9, 29.9, 20.2, 13.8; **HRMS (ESI)**: calcd for $C_{19}H_{19}ClN_2O_2$ $[M+H]^+$, 343.1213, found: 343.1296.

**3-butyl-1-(2-fluorobenzyl)quinazoline-2,4(1H,3H)-dione (4j).**

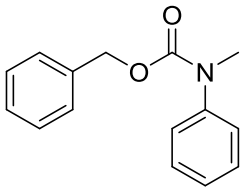


White solid (122 mg, 75%). M.p.: 117-119 °C. **$^1$H NMR (600 MHz, $CDCl_3$) δ** 8.14 (dd, J = 7.9, 1.7 Hz, 1H), 7.47 (ddd, J = 8.7, 7.2, 1.7 Hz, 1H), 7.19 – 7.10 (m, 2H), 7.02 (dd, J = 8.1, 2.3 Hz, 3H), 6.97 (td, J = 7.5, 1.2 Hz, 1H), 5.35 (s, 2H), 4.10 – 4.04 (m, 2H), 1.66 (ddt, J = 9.5, 7.7, 3.7 Hz, 2H), 1.36 (h, J = 7.4 Hz, 2H), 0.90 (t, J = 7.4 Hz, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$) δ** 161.5, 160.2 (d, J = 245.7 Hz), 151.3, 139.5, 135.0, 129.2 (d, J = 8.1 Hz), 128.9, 128.0 (d, J = 3.7 Hz), 124.6 (d, J = 3.4 Hz), 123.0, 122.8 (d, J = 13.8 Hz), 115.7, 115.5 (d, J = 21.3 Hz), 113.8, 41.8, 40.8 (d, J = 5.4 Hz), 29.9, 20.2, 13.7; **HRMS (ESI)**: calcd for $C_{19}H_{19}FN_2O_2$ $[M+H]^+$, 327.1509, found: 327.1553.

***General Procedure for the synthesis of linear carbamates (7a-d).***

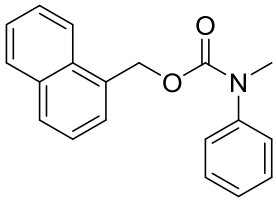
Chloroacetylated alcohols 5a-d (0.5 mmol) was mixed with a solution of 4-methylpyridine (0.625 mmol) and NaI (75.0 mg, 0.5 mmol) in DMF (4 mL) in a 10 mL RB flask, and the reaction mixture was stirred at 90 °C for 5 h. After cooling the reaction mixture to room temperature, *N*-methylaniline (0.5 mmol) and $Et_3$ N (1.5 mmol) were added. The reaction temperature was then raised to 90 °C and maintained for 9 hours. The progress of the reaction was monitored by using thin-layer chromatography (TLC) using hexane/ethyl acetate (8:1) as eluent. After completion, the reaction temperature was decreased to room temperature, water was added, and the mixture was extracted with EtOAc (3 × 10 mL). The organic phase was combined, dried over anhydrous $MgSO_4$, then filtered, and finally, the solvent was removed under vacuum. The resulting crude was purified by flash column chromatography on silica gel using hexane/ethyl acetate 10:1 as the eluent to obtain the corresponding products.

**benzyl methyl(phenyl)carbamate (7a).**



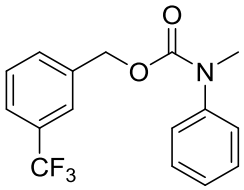
Clear oil (78 mg, 65%). **$^1$H NMR (600 MHz, MeOD) δ** 7.22 – 7.18 (m, 2H), 7.15 – 7.06 (m, 5H), 6.69 – 6.66 (m, 2H), 6.60 (tt, J = 7.3, 1.1 Hz, 1H), 4.40 (s, 2H), 2.87 (s, 3H).**$^{13}$C NMR (151 MHz, MeOD)** δ 149.7, 139.1, 128.6, 128.1, 126.5, 126.4, 116.2, 112.3, 56.1, 37.5; **HRMS (ESI)**: calcd for $C_{15}H_{15}NO_2$ $[M+H]^+$, 242.1181, found: 242.1201.

**naphthalen-1-ylmethyl methyl(phenyl)carbamate (7b).**



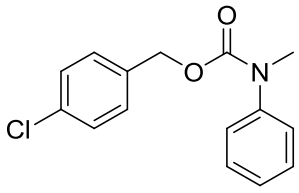
Clear oil (92 mg, 63%). **$^1$H NMR (600 MHz, CDCl3) δ** 7.82 – 7.78 (m, 2H), 7.76 – 7.73 (m, 1H), 7.65 (s, 1H), 7.44 – 7.39 (m, 2H), 7.35 (dd, J = 8.4, 1.7 Hz, 1H), 7.23 – 7.18 (m, 2H), 6.81 – 6.77 (m, 2H), 6.71 (t, J = 7.3 Hz, 1H), 4.66 (s, 2H), 3.04 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$) δ** 149.8, 136.6, 133.5, 132.6, 129.2, 128.3, 127.7, 127.6, 126.0, 125.5, 125.1, 125.1, 122.8, 116.6, 112.4, 56.9, 38.5; **HRMS (ESI)**: calcd for $C_{19}H_{17}NO_2$ $[M+H]^+$, 292.1338, found: 292.1333.

**3-(trifluoromethyl)benzyl methyl(phenyl)carbamate (7c).**



Clear oil (110 mg, 71%). **$^1$H NMR (600 MHz, CDCl3) δ** 7.27 (d, J = 8.2 Hz, 2H), 7.21 (t, J = 7.8 Hz, 2H), 7.15 (d, J = 8.1 Hz, 2H), 6.72 (dd, J = 8.0, 4.0 Hz, 3H), 4.48 (s, 2H), 2.99 (s, 3H). **$^{13}$C NMR (151 MHz, $CDCl_3$) δ** 149.5, 140.3, 130.9 (q, J = 32.1 Hz), 130.0, 129.5, 129.1, 125.0, 123.8 (q, J = 3.7 Hz), 123.5 (q, J = 3.8 Hz), 123.2, 117.1, 112.5, 56.6, 38.6; **HRMS (ESI)**: calcd for $C_{16}H_{15}F_3NO_2$ $[M+H]^+$, 310.2962, found: 310.2954.

**4-chlorobenzyl methyl(phenyl)carbamate (7d).**



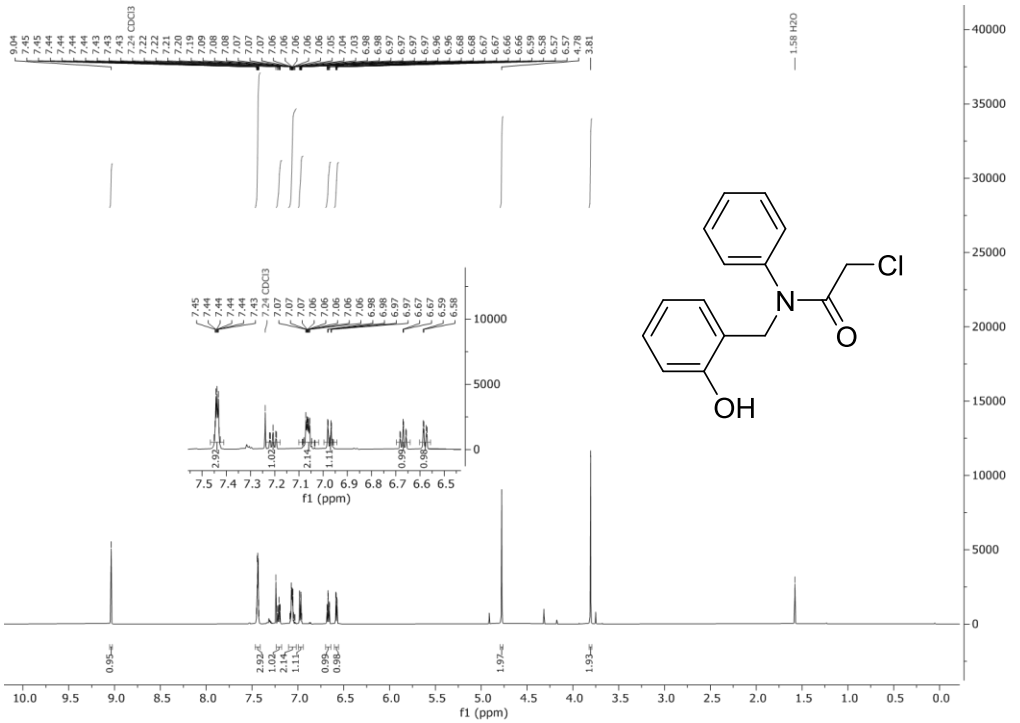
Clear oil (94 mg, 68%). **$^1$H NMR (600 MHz, CDCl3)** δ 7.27 (d, J = 8.2 Hz, 2H), 7.21 (t, J = 7.8 Hz, 2H), 7.15 (d, J = 8.3 Hz, 2H), 6.72 (dd, J = 8.1, 4.0 Hz, 3H), 4.48 (s, 2H), 2.99 (s, 3H); **$^{13}$C NMR (151 MHz, $CDCl_3$) δ** 149.5, 137.5, 132.5, 129.2, 128.7, 128.1, 116.8, 112.4, 56.1, 38.5; **HRMS (ESI)**: calcd for $C_{15}H_{15}ClNO_2$ $[M+H]^+$, 276.0791, found: 276.0804.

**References:**

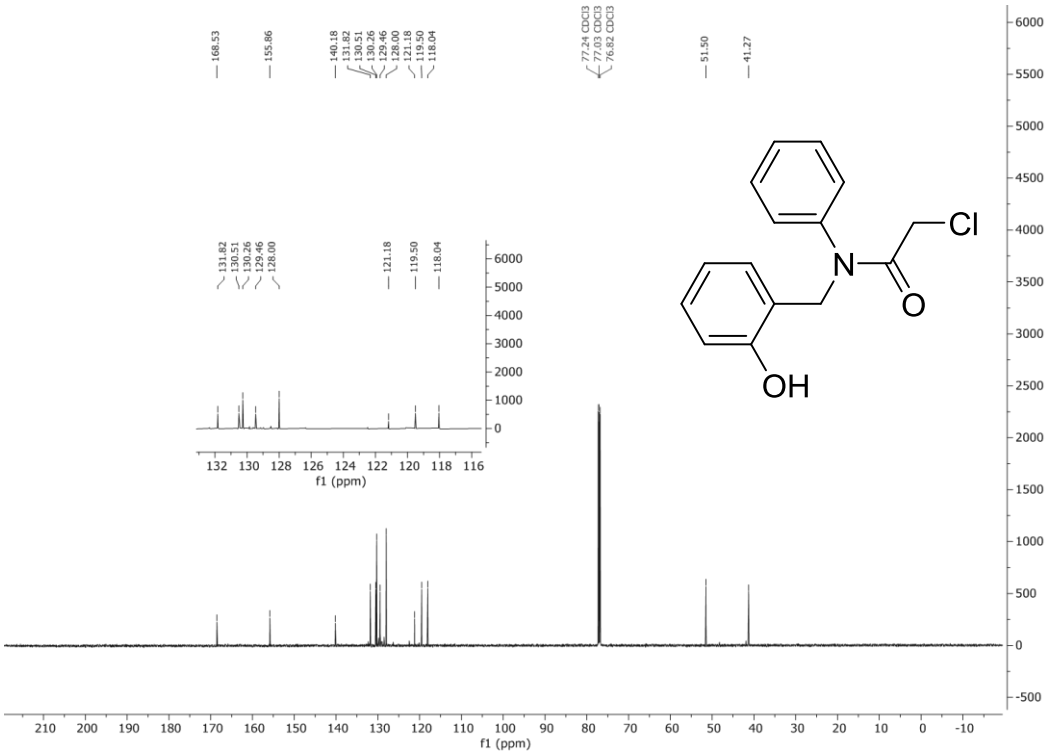

1. L. Fakhouri, C. D. Cook, M. H. Al-Huniti, L. M. Console-Bram, D. P. Hurst, M. B. S. Spano, D. J. Nasrallah, M. G. Caron, L. S. Barak, P. H. Reggio, M. E. Abood and M. P. Croatt, *Bioorg. Med. Chem.*, 2017, **25**, 4355–4367.
2. a) A. Verma, R. Giridhar, P. Modh and M. R. Yadav, *Tetrahedron Lett*., 2012, **53**, 2954–2958; b) E. Keskin, C. Yolacan and F. Aydogan, *Acta Chim. Slov*., 2020, **67**, 1014–1023; c) M. C. Venuti, *Synthesis*, 1982, **4**, 266–268.
3. a) D. P. Curran, C. P. Jasperse, M. J. Totleben, *J. Org. Chem.*, 1991, **56**, 7169–7172; b) T. Shintou, W. Kikuchi, T. Mukaiyama, *Bull. Chem. Soc. Jpn*., 2003, **76**, 1645–1667; c) T. Mukaiyama, W. Kikuchi, T. Shintou, *Chem. Lett*., 2003, **32**, 300–301; d) R. Naik, M. A. Pasha, *Synth. Commun*., 2005, **35**, 2823–2826.

## Copy of Spectra

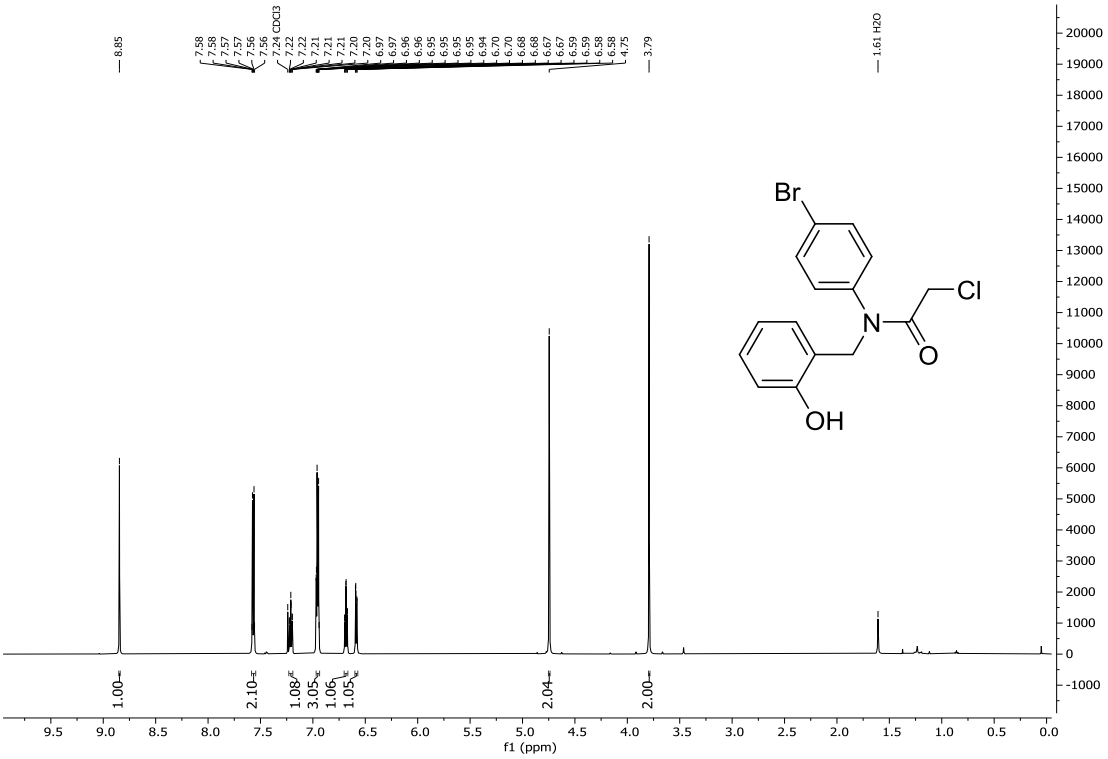
$^{1}$H NMR of **1a** (600 MHz, $CDCl_3$)



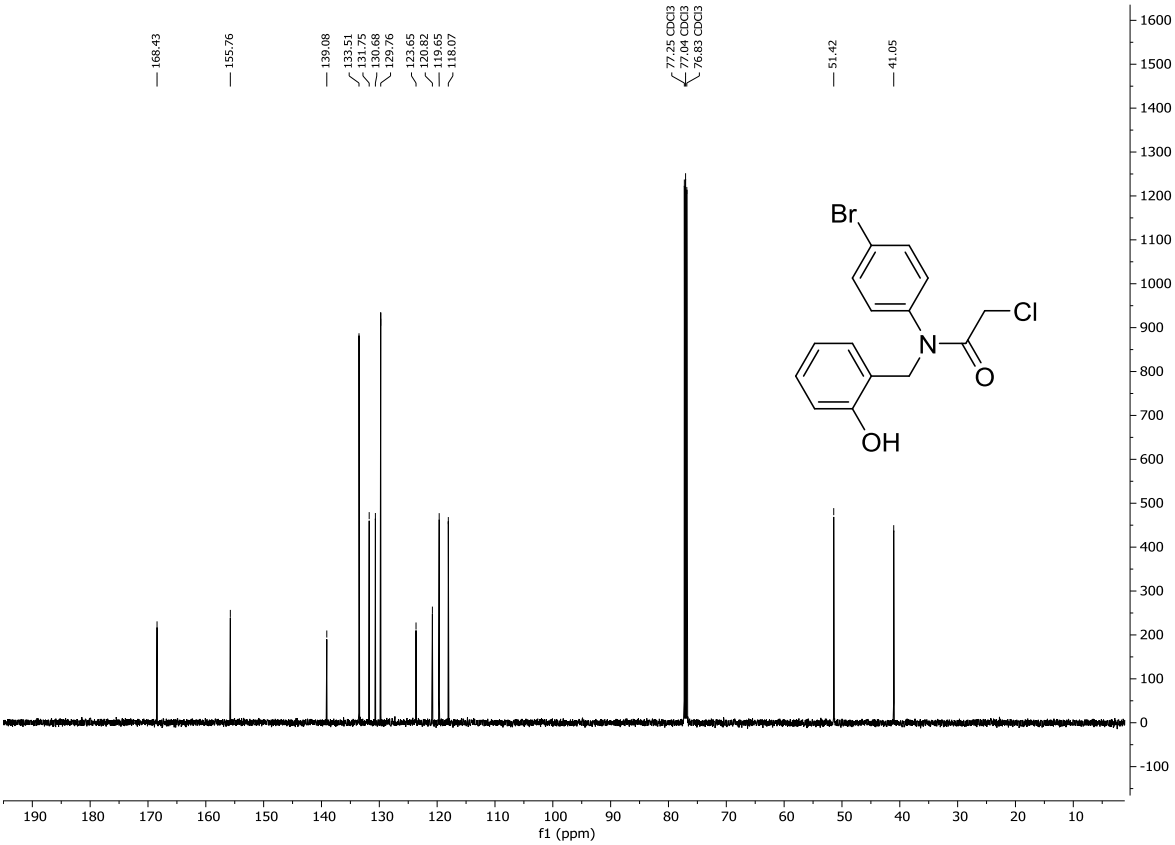

$^{13}$C NMR of **1a** (150 MHz, $CDCl_3$)



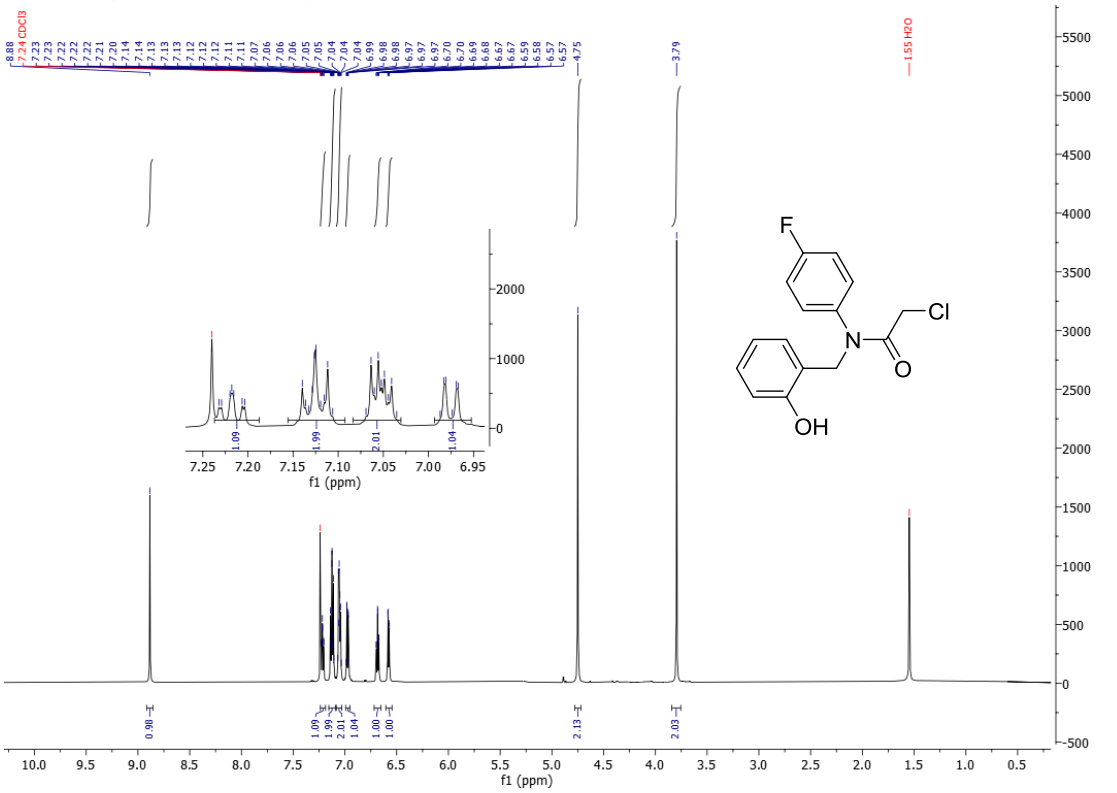
$^{1}$H NMR of **1b** (600 MHz, $CDCl_3$)



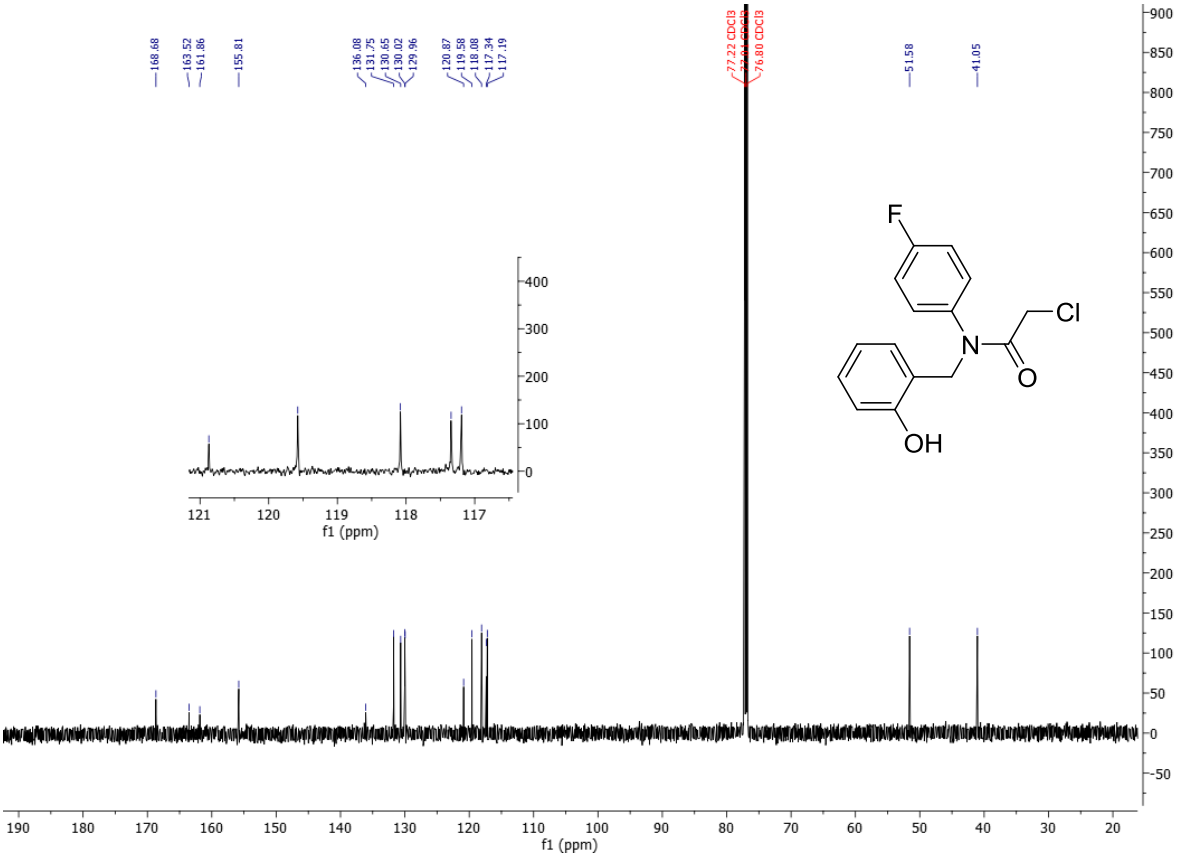

$^{13}$C NMR of **1b**(150 MHz, $CDCl_3$)



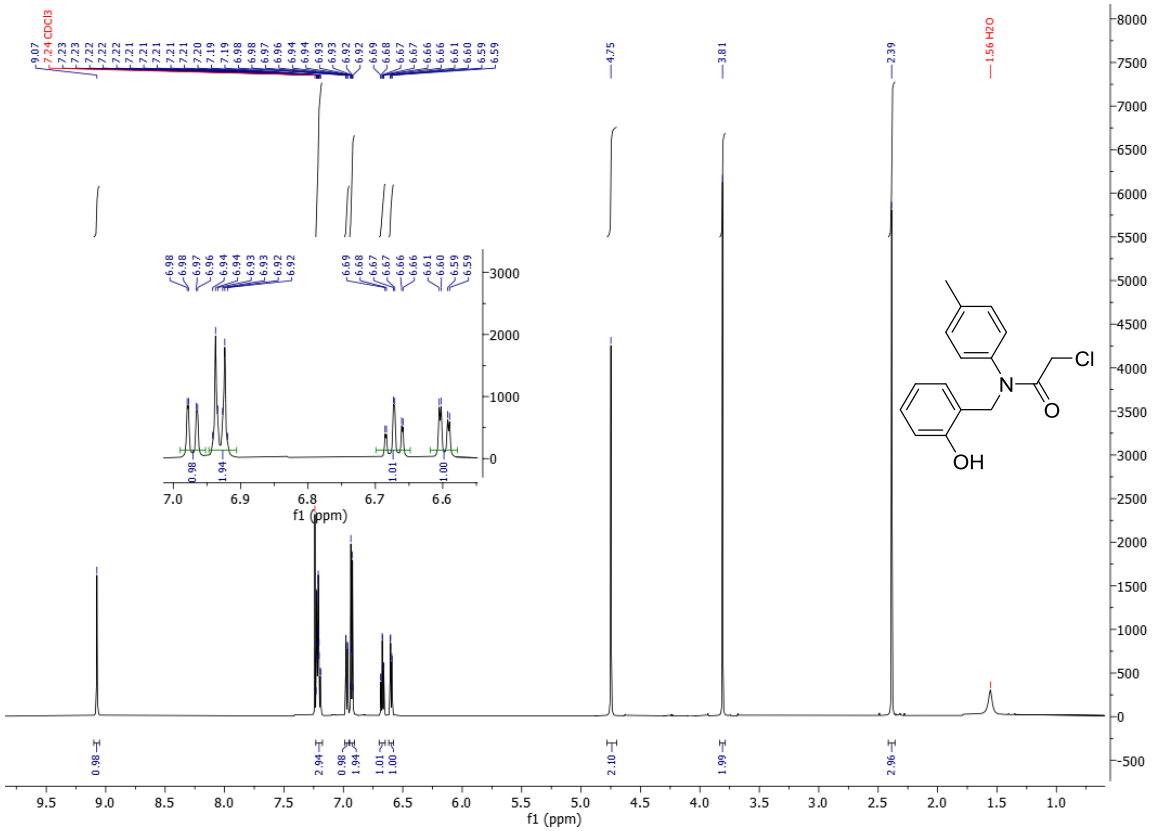
$^{1}$H NMR of **1c** (600 MHz, $CDCl_3$)



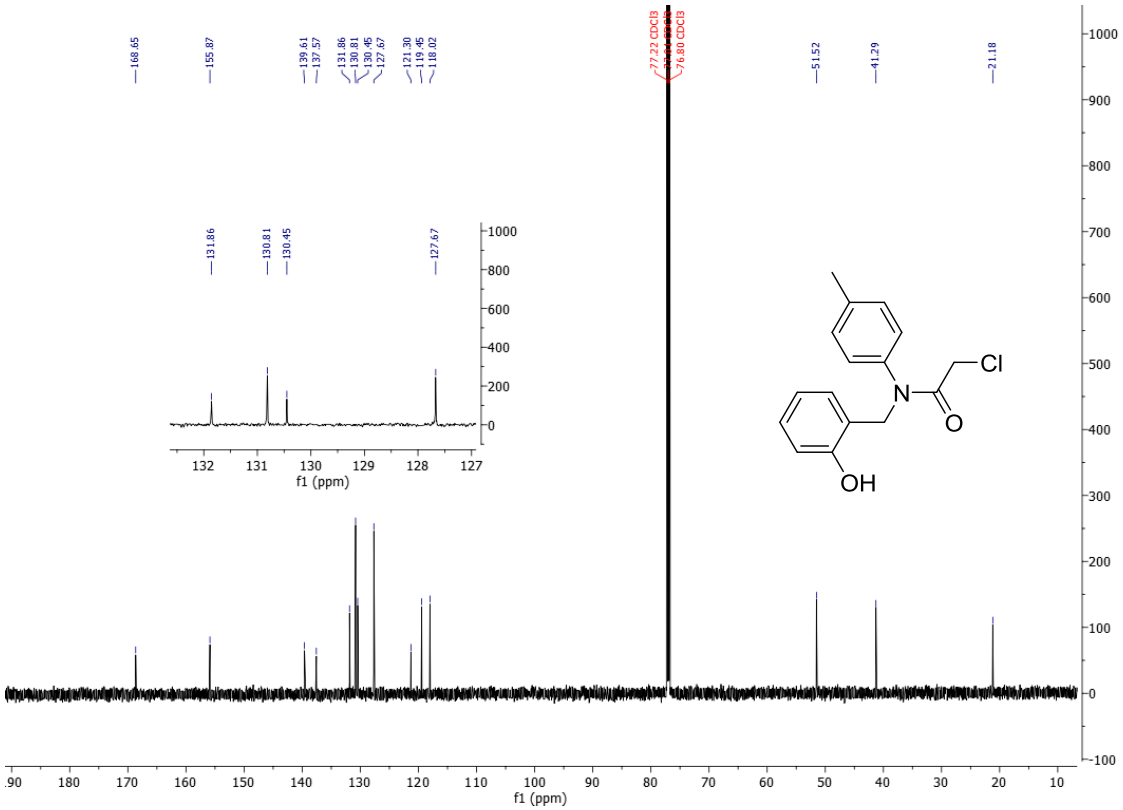

$^{13}$C NMR of **1c** (150 MHz, $CDCl_3$)



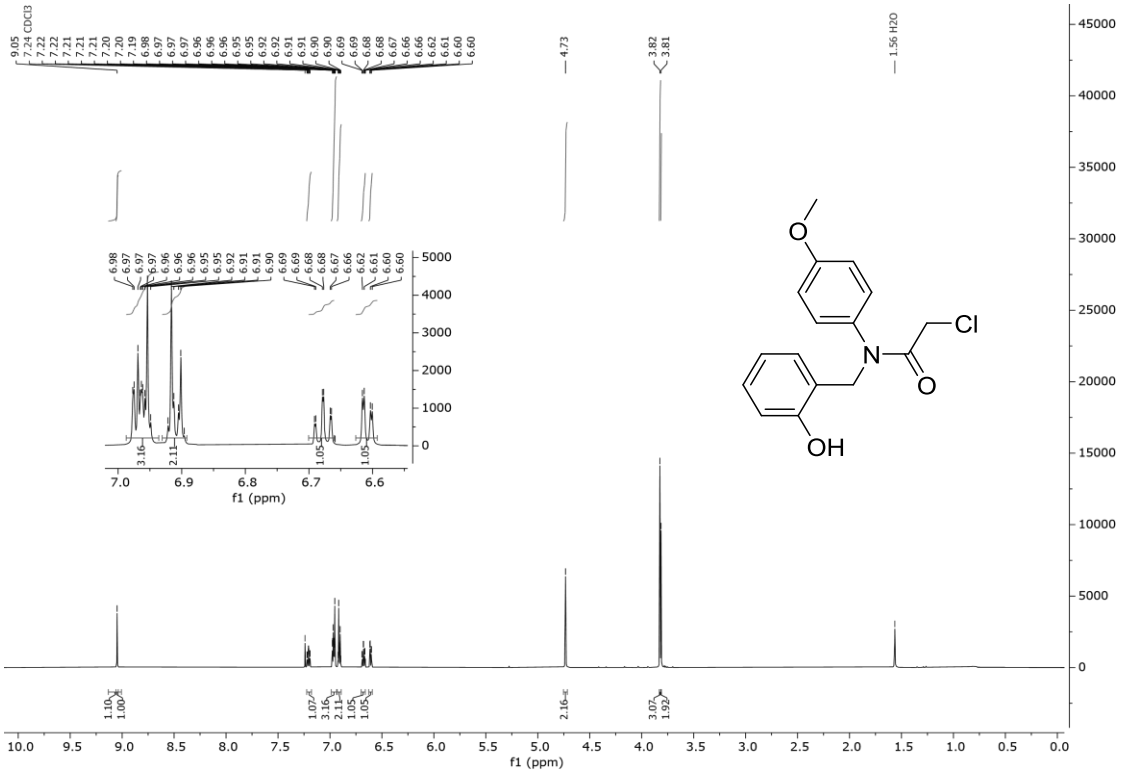
$^{1}$H NMR of **1d** (600 MHz, $CDCl_3$)



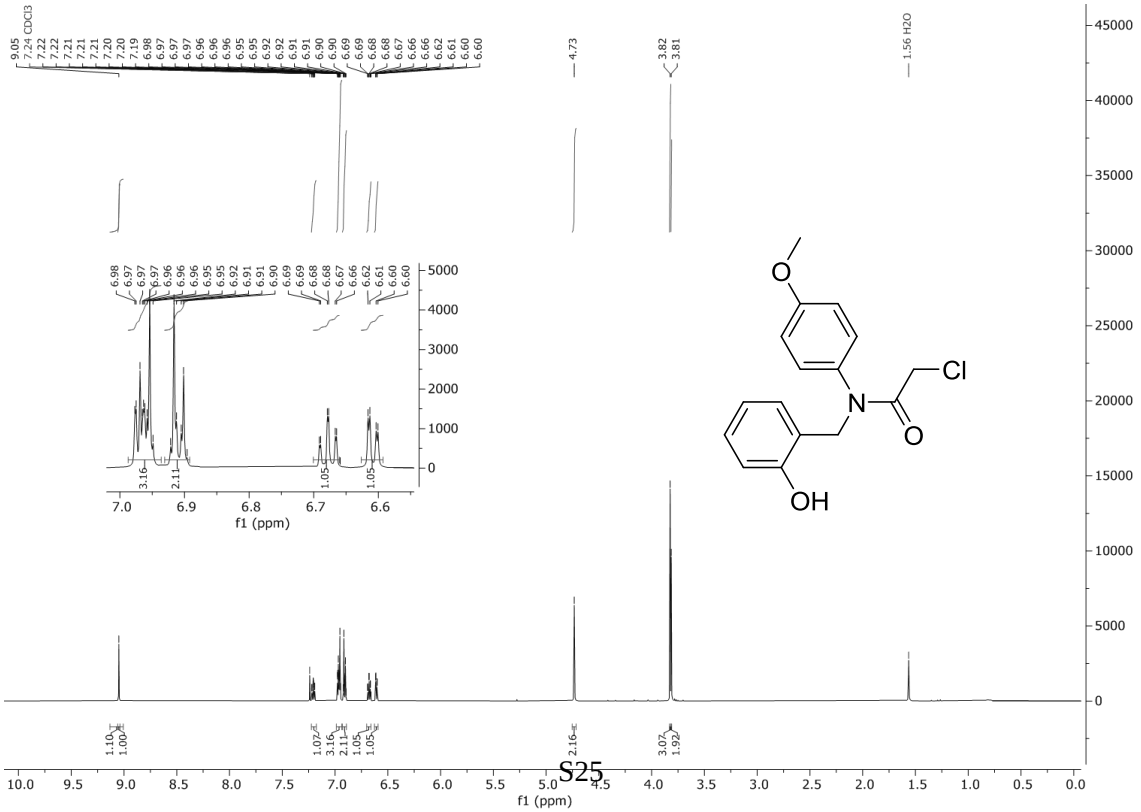

$^{13}$C NMR of **1d** (150 MHz, $CDCl_3$)



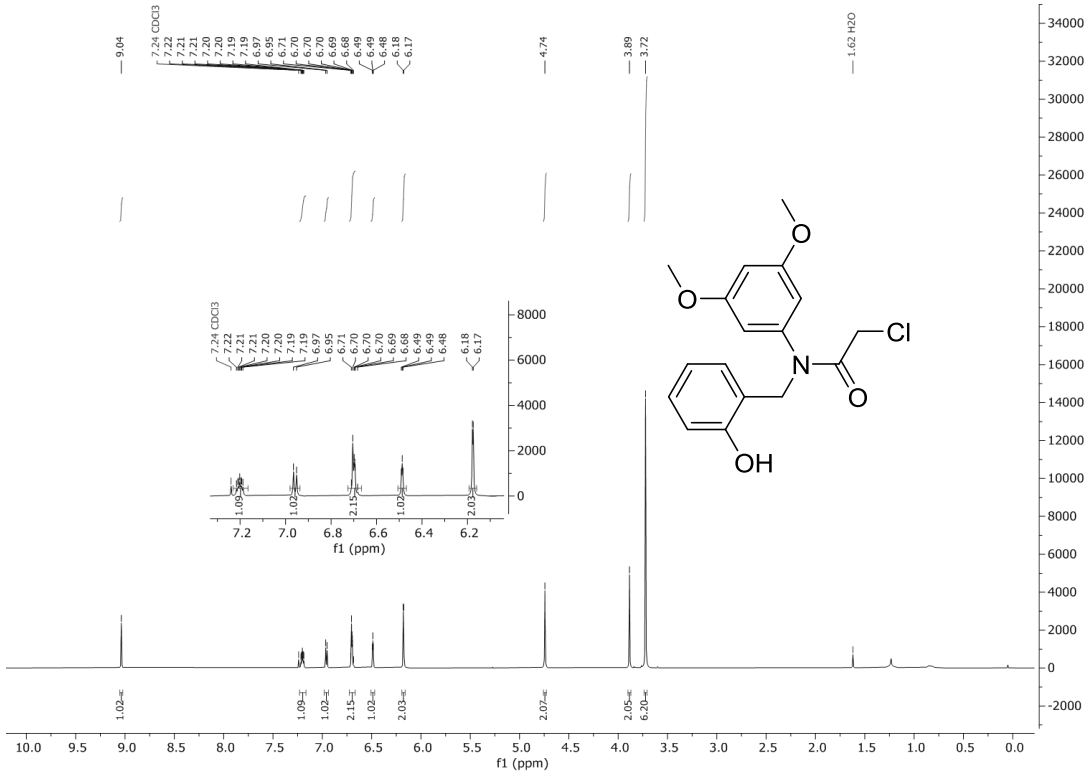
$^{1}$H NMR of **1e** (600 MHz, $CDCl_3$)



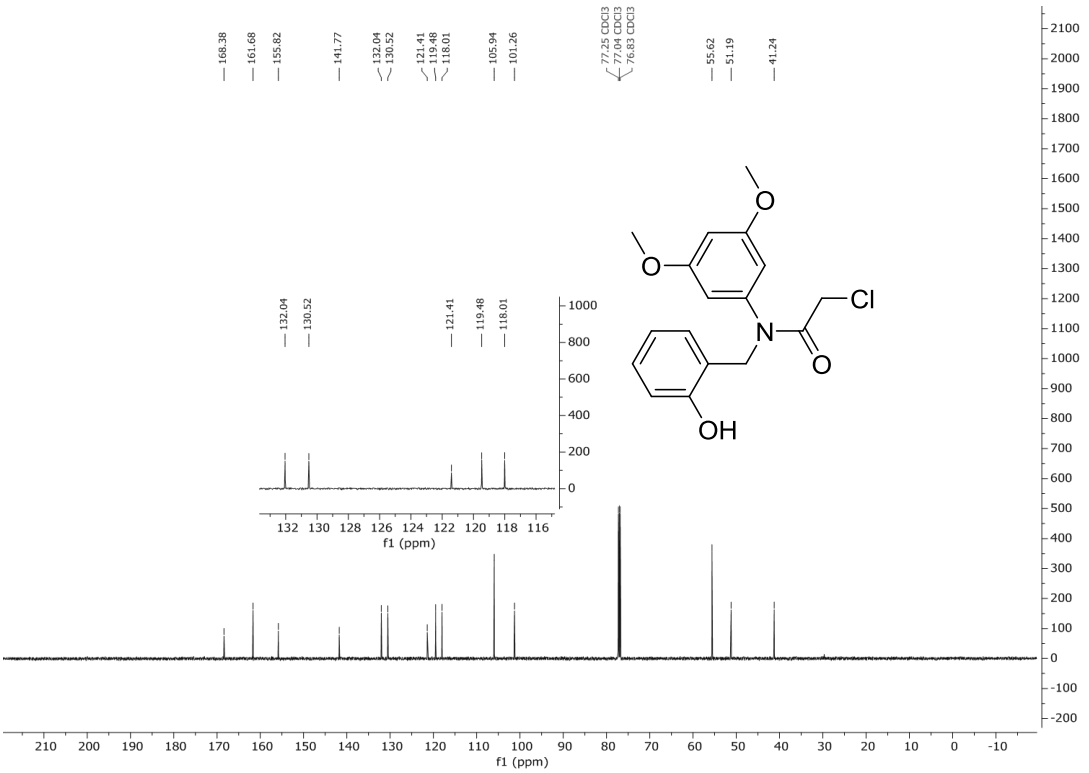

$^{13}$C NMR of **1e** (150 MHz, $CDCl_3$)



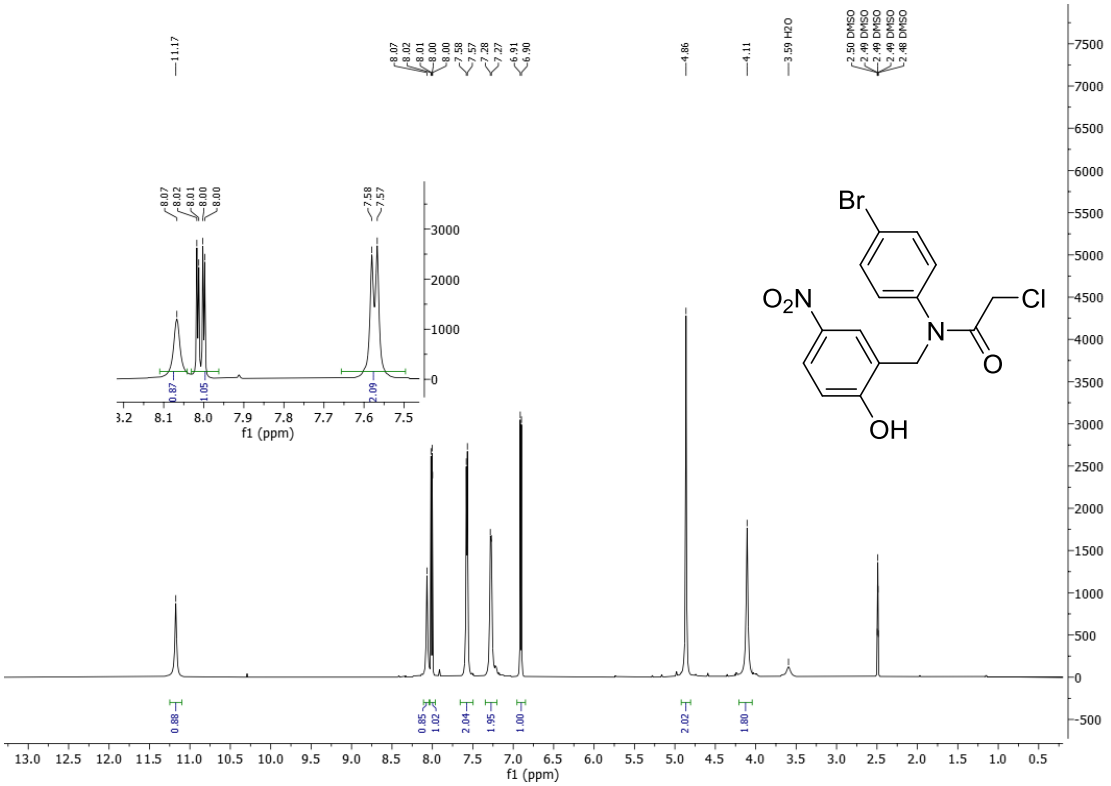
$^{1}$H NMR of **1f** (600 MHz, $CDCl_3$)



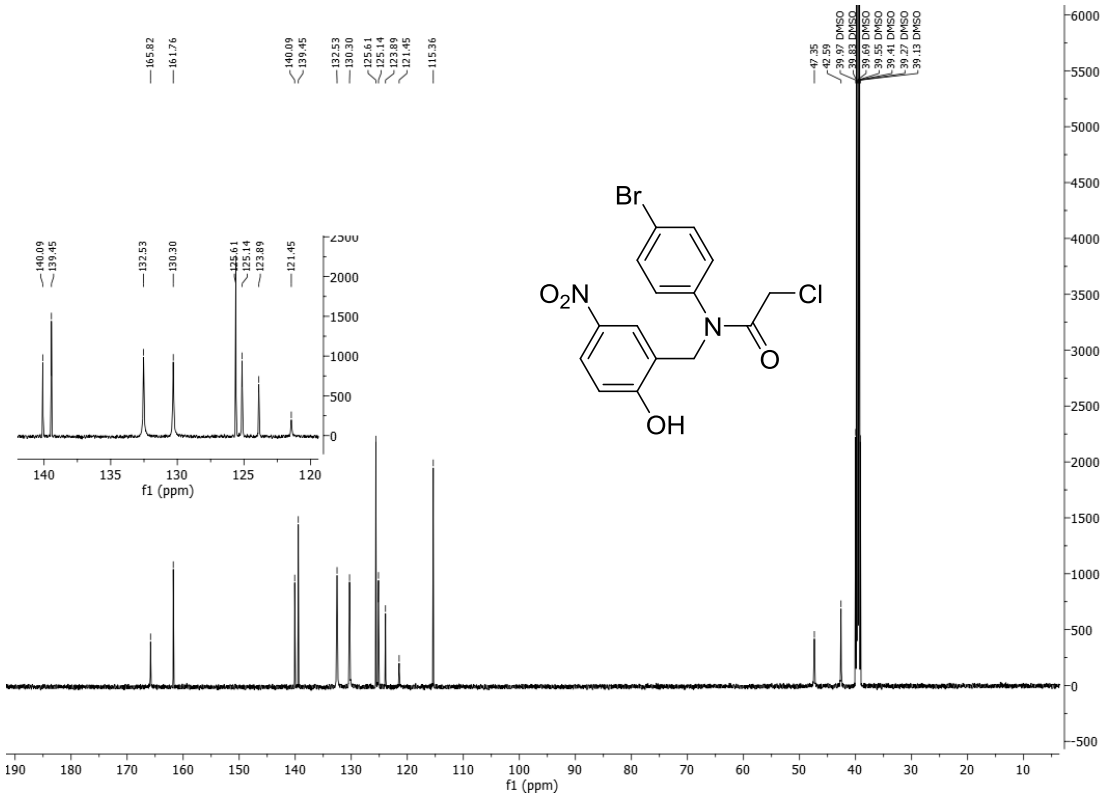

$^{13}$C NMR of **1f** (150 MHz, $CDCl_3$)



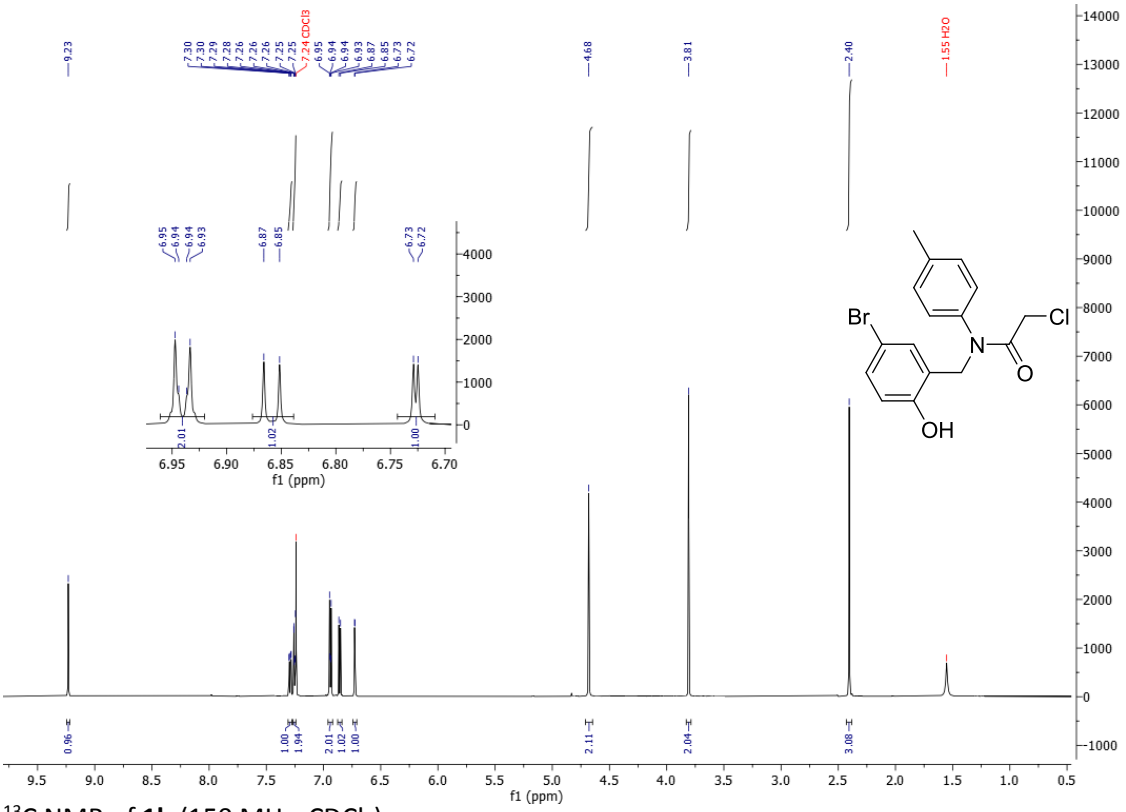
$^{1}$H NMR of **1g** (600 MHz, DMSO)



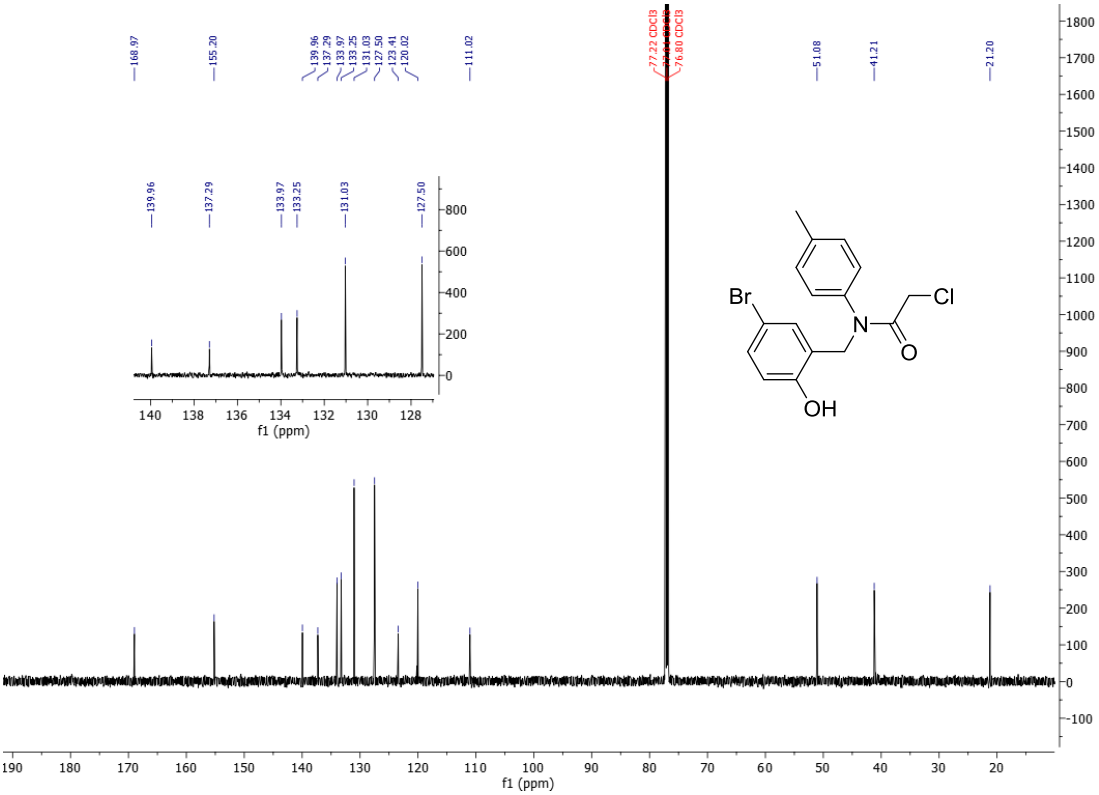

$^{13}$C NMR of **1g** (600 MHz, DMSO)



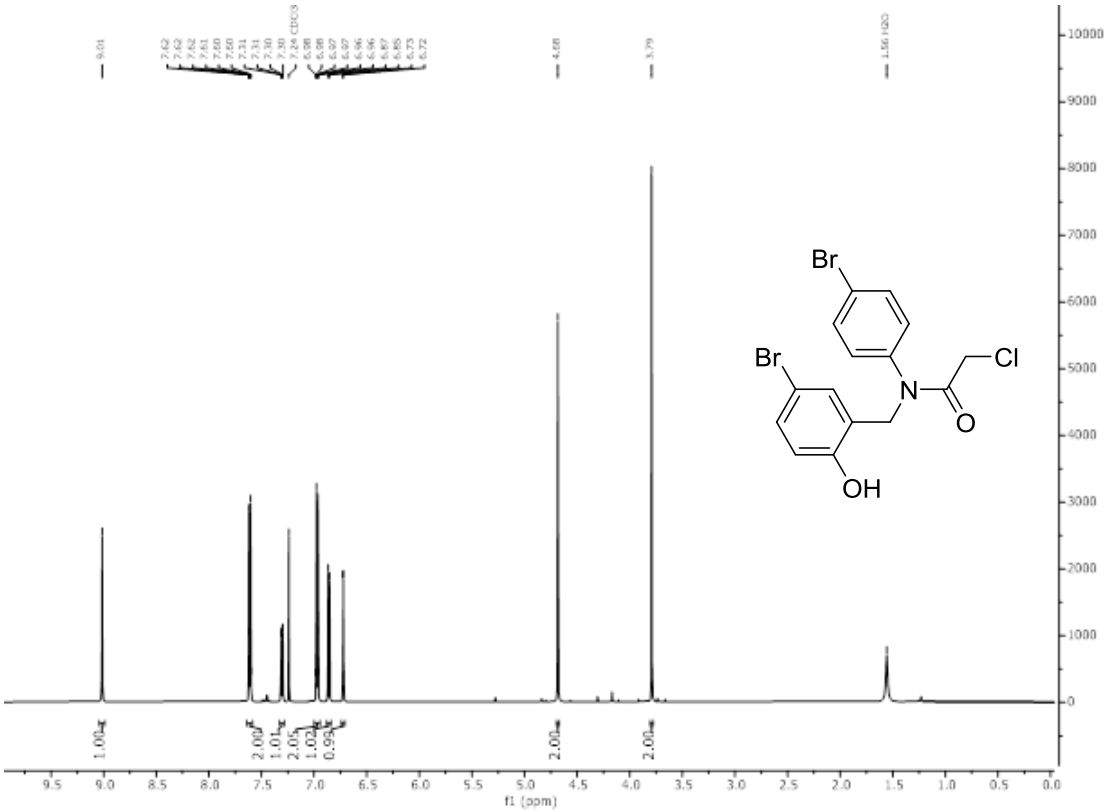
$^{1}$H NMR of **1h** (600 MHz, $CDCl_3$)



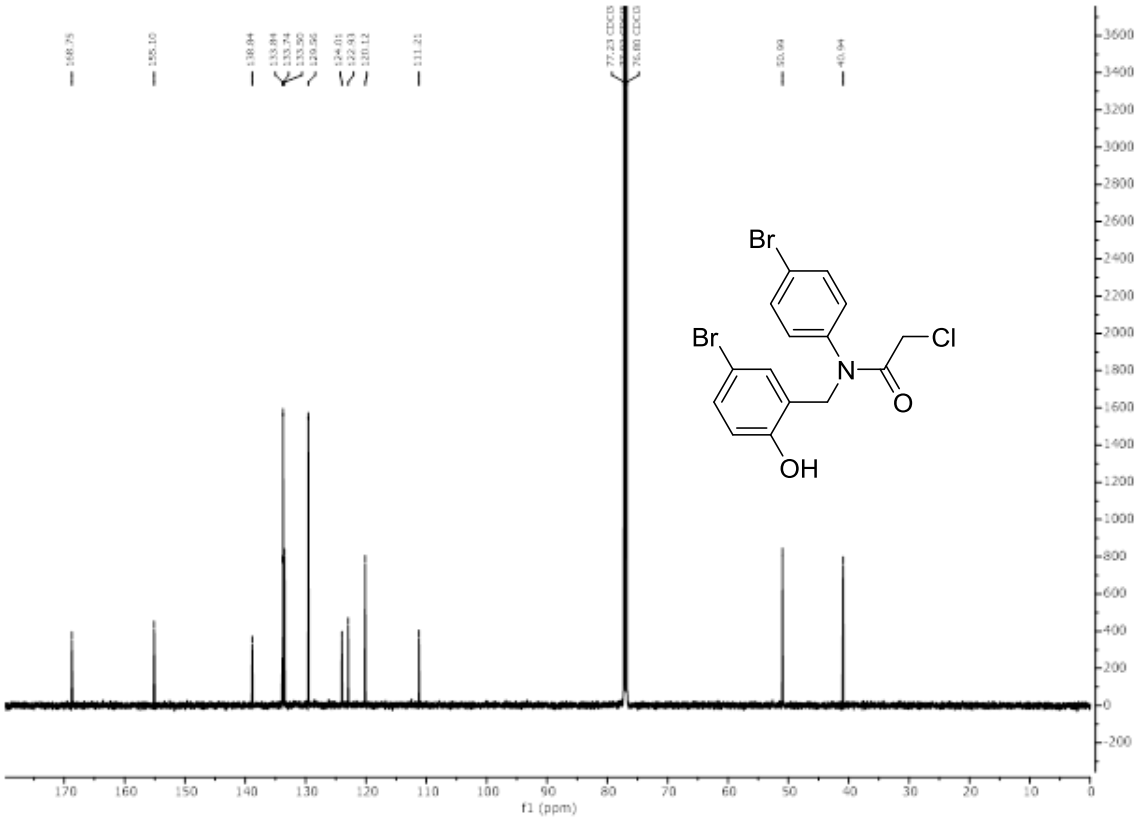

$^{13}$C NMR of **1h** (150 MHz, $CDCl_3$)



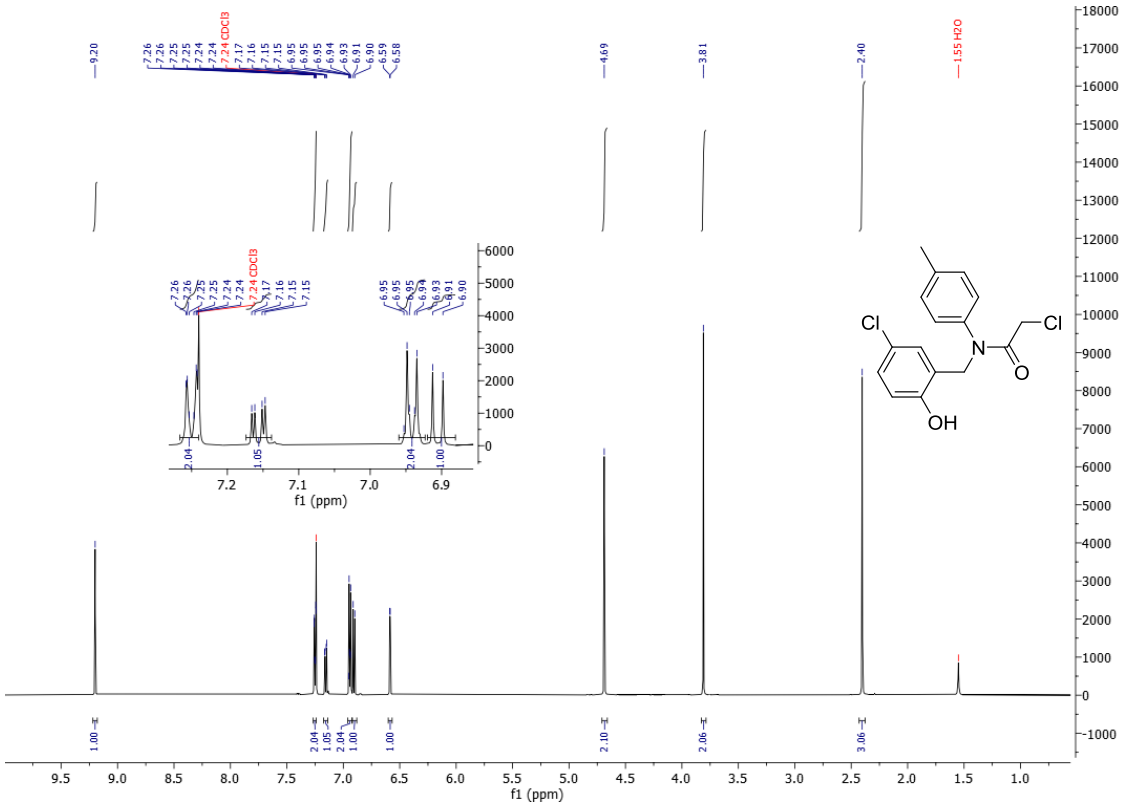
$^{1}$H NMR of **1i** (600 MHz, $CDCl_3$)



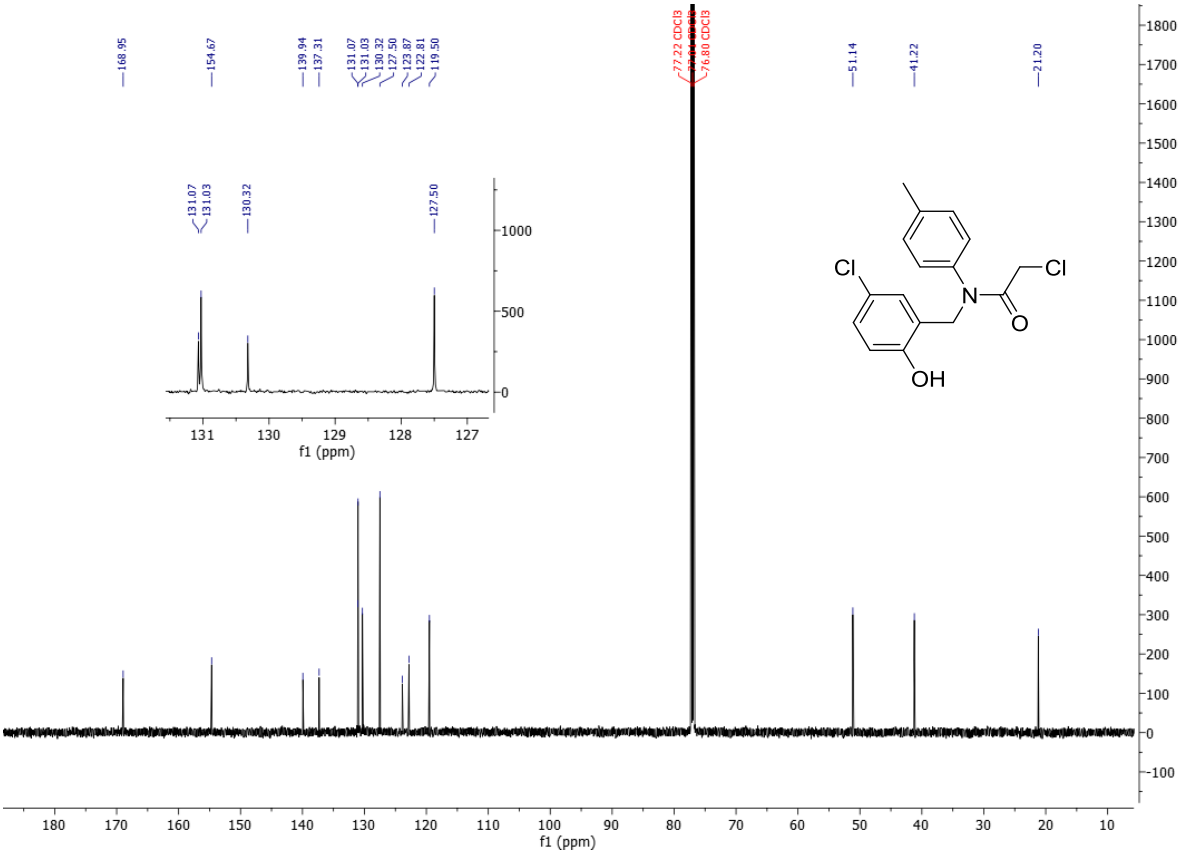

$^{13}$C NMR of **1i** (150 MHz, $CDCl_3$)



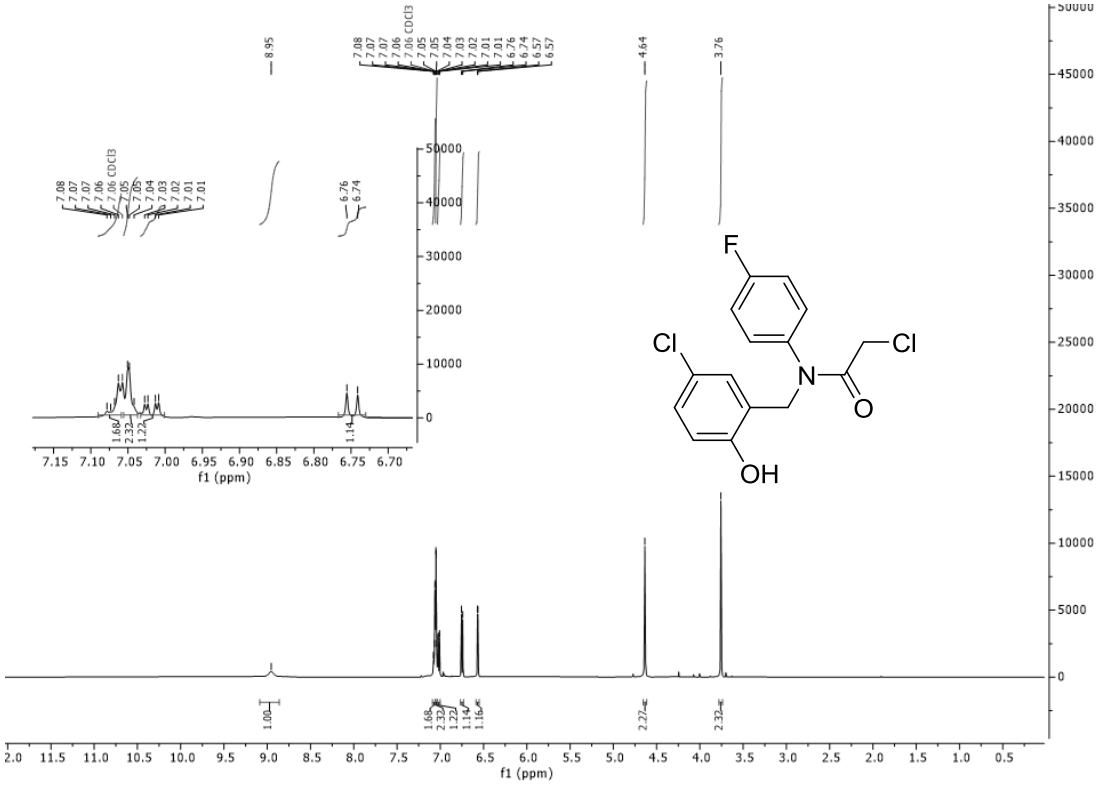
$^{1}$H NMR of **1j** (600 MHz, $CDCl_3$)



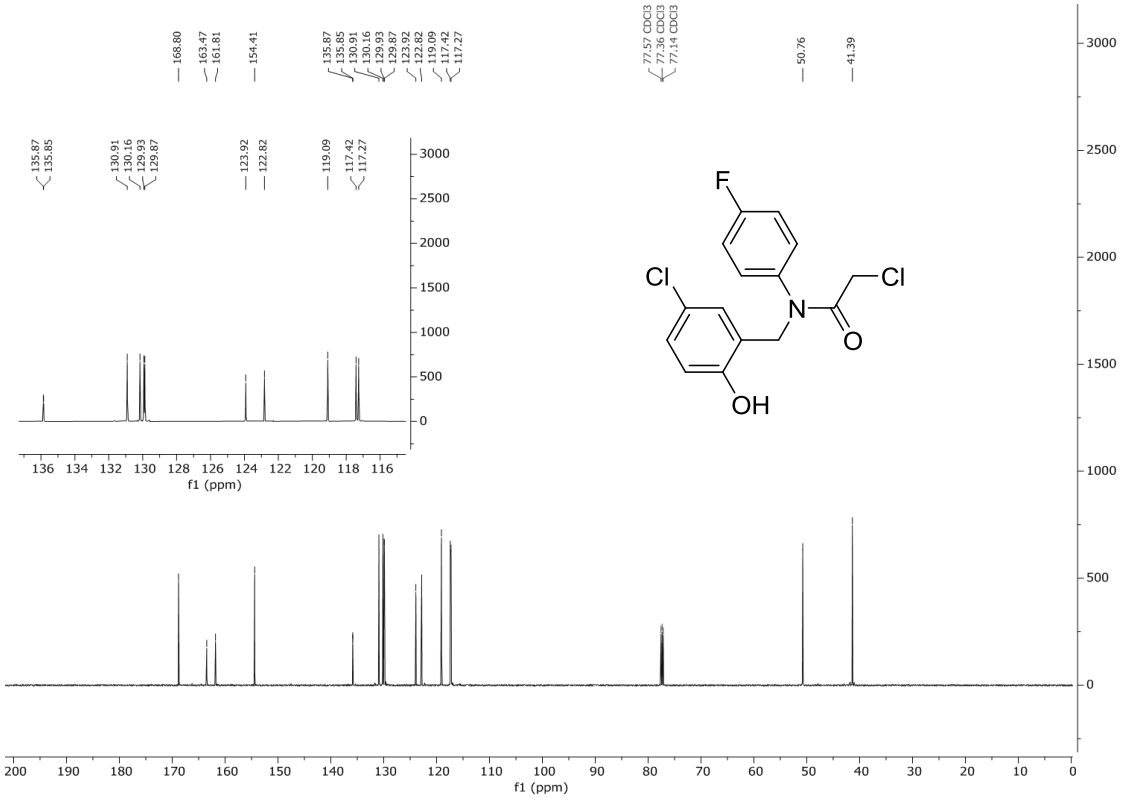

$^{13}$C NMR of **1j** (150 MHz, $CDCl_3$)



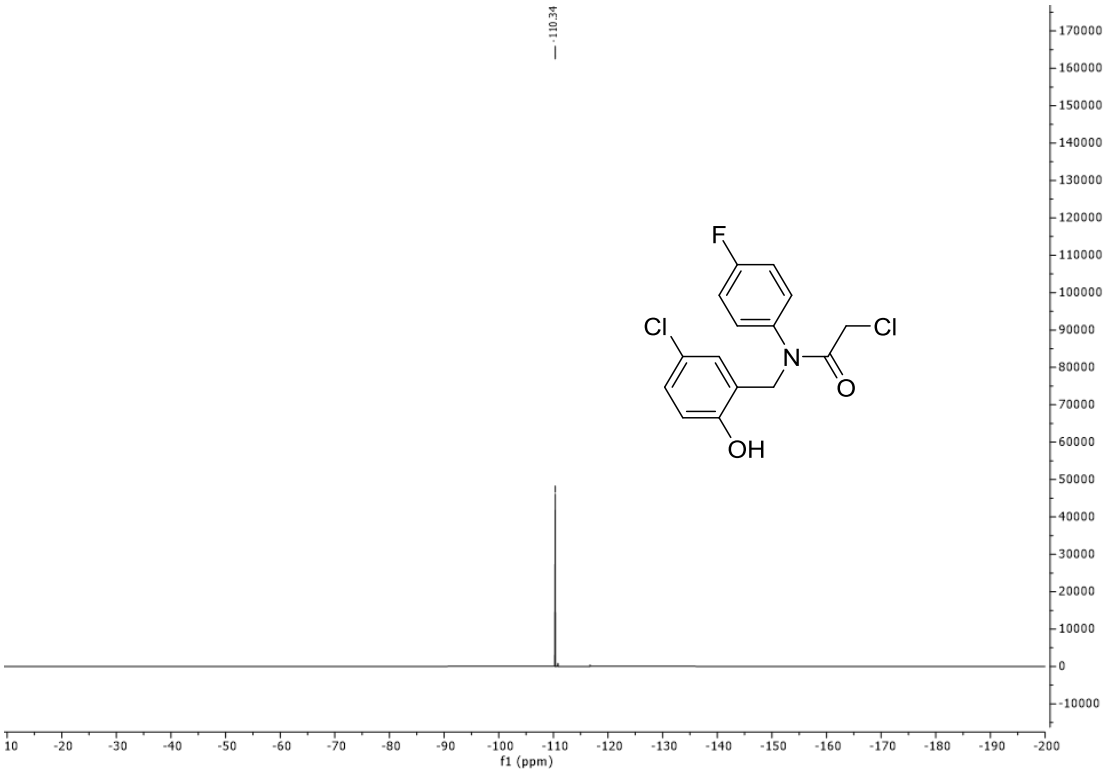
$^{1}$H NMR of **1k** (600 MHz, $CDCl_3$)



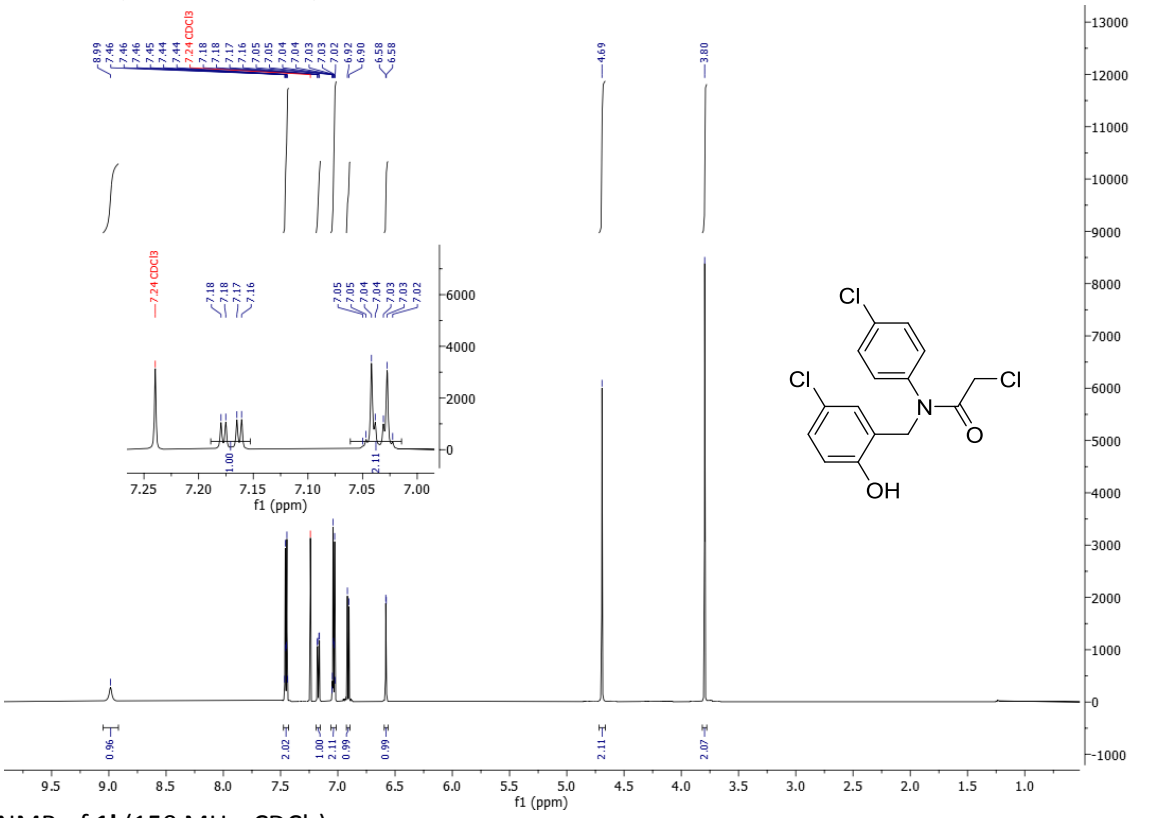

$^{13}$C NMR of **1k** (150 MHz, $CDCl_3$)



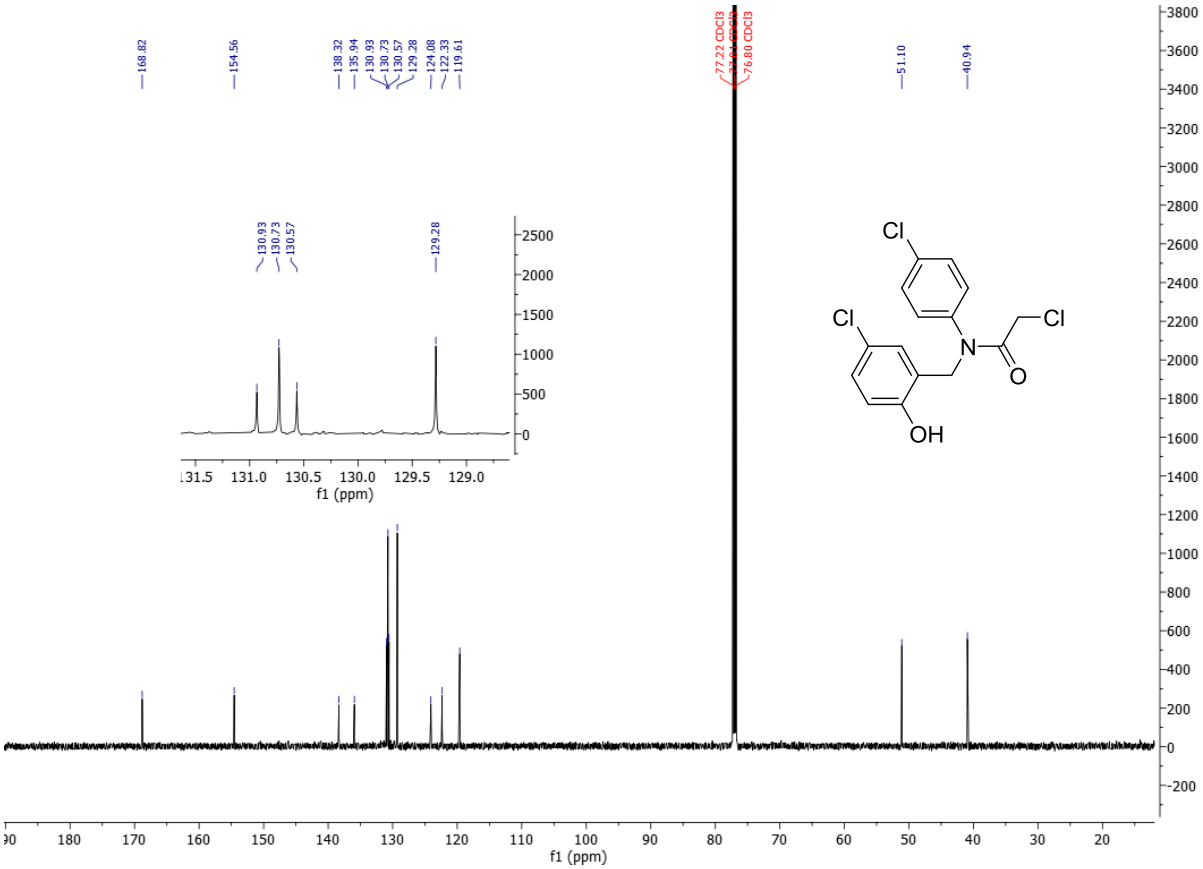
$^{19}F$ NMR of **1k** (565 MHz, $CDCl_3$)



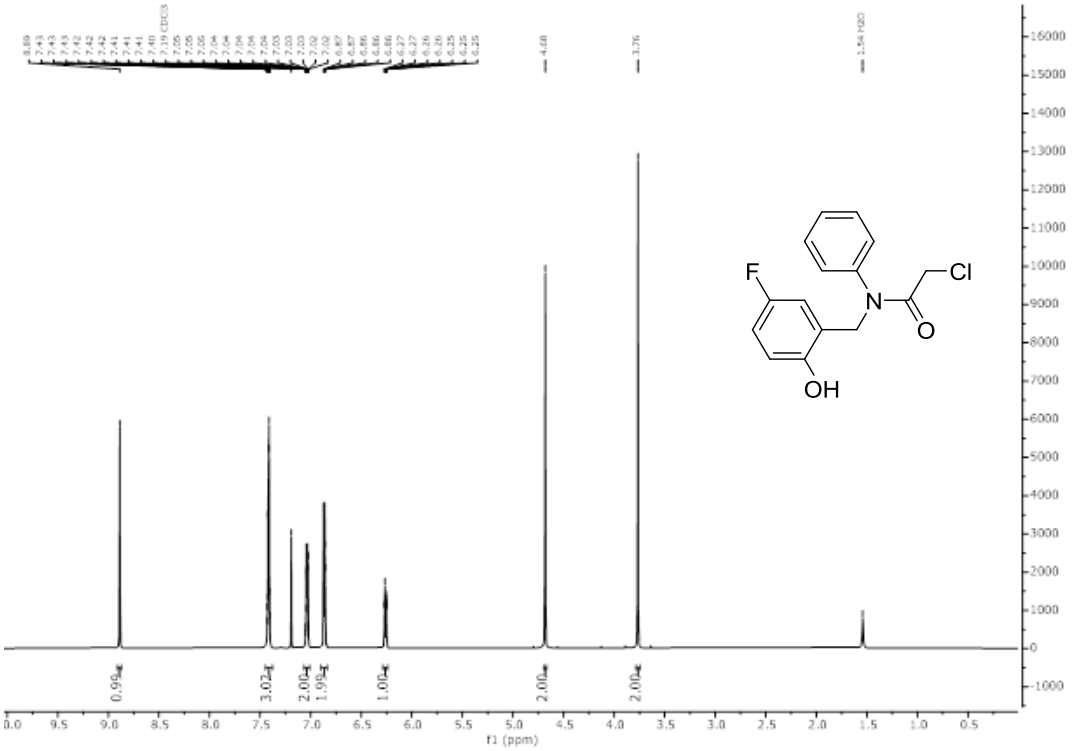
$^{1}$H NMR of **1l** (600 MHz, $CDCl_3$)



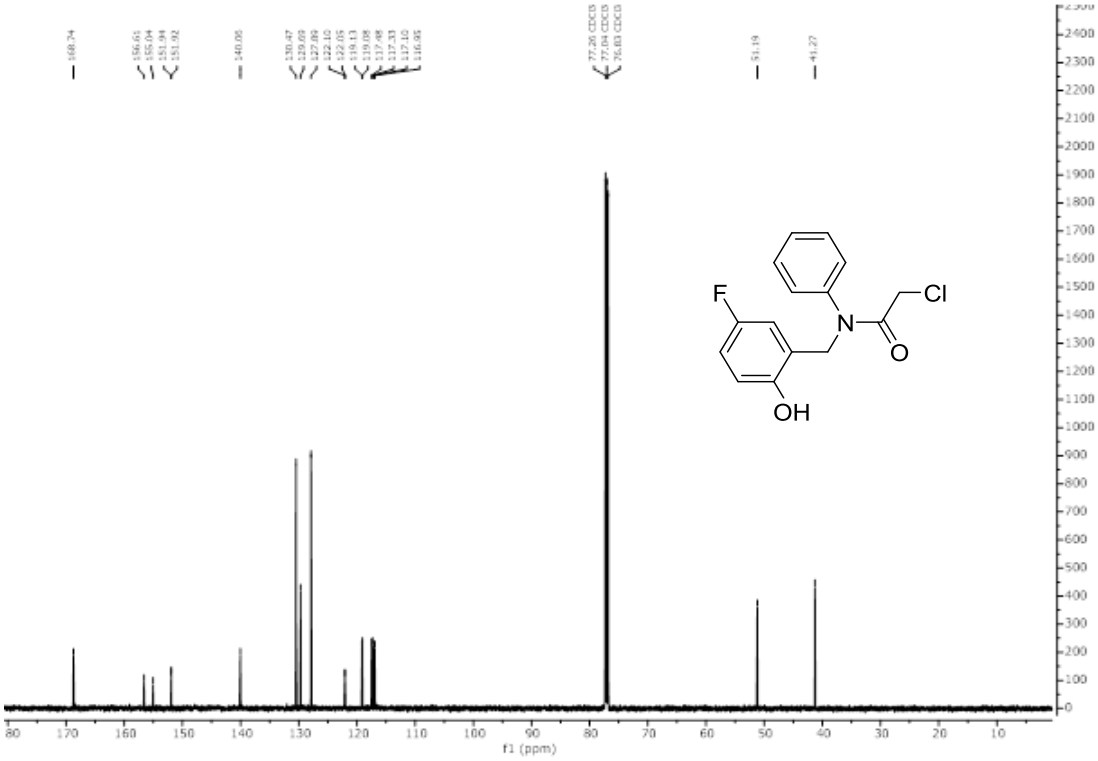

$^{13}$C NMR of **1l** (150 MHz, $CDCl_3$)



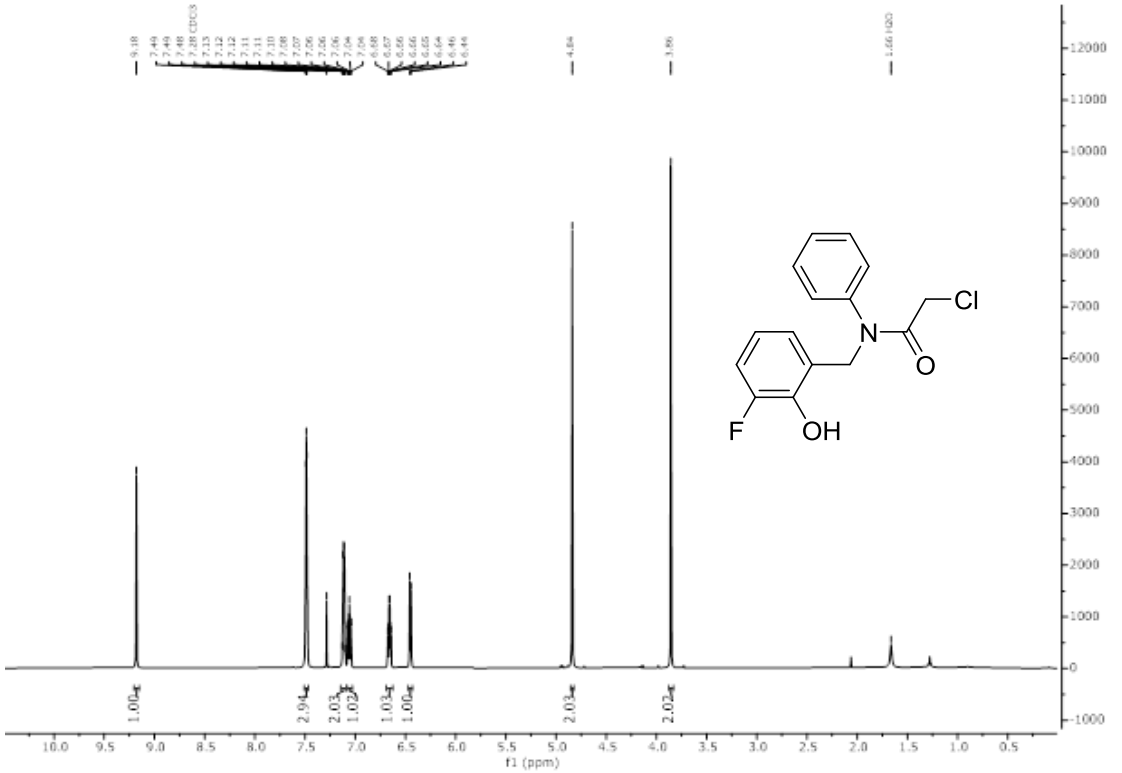
$^{1}$H NMR of **1m** (600 MHz, $CDCl_3$)



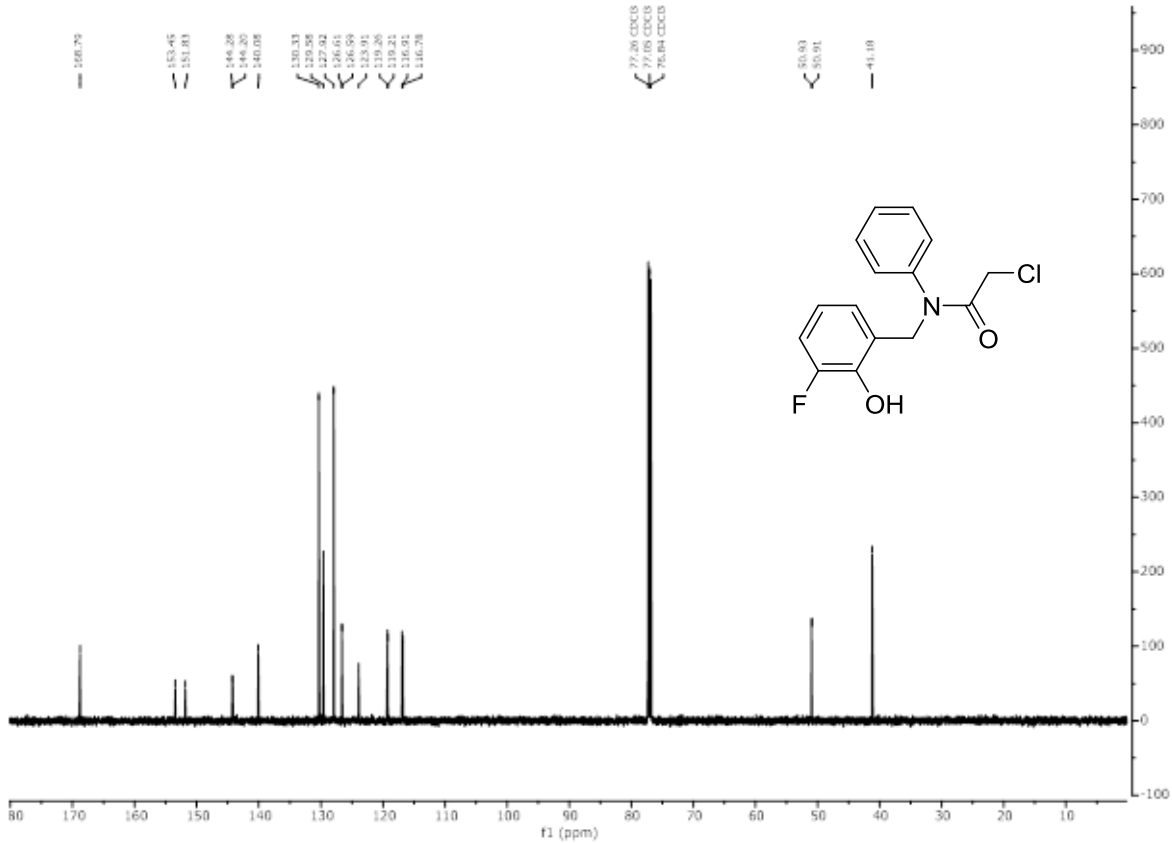

$^{13}$C NMR of **1m** (150 MHz, $CDCl_3$)



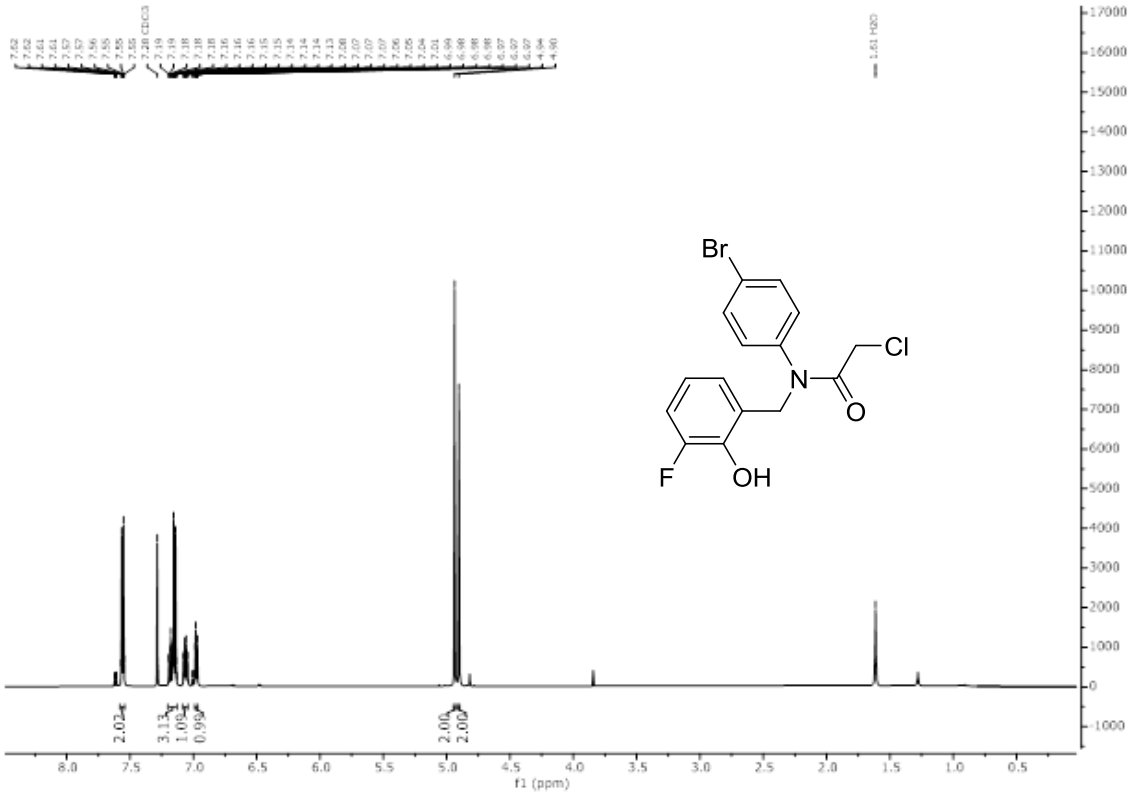
$^{1}$H NMR of **1n** (600 MHz, $CDCl_3$)



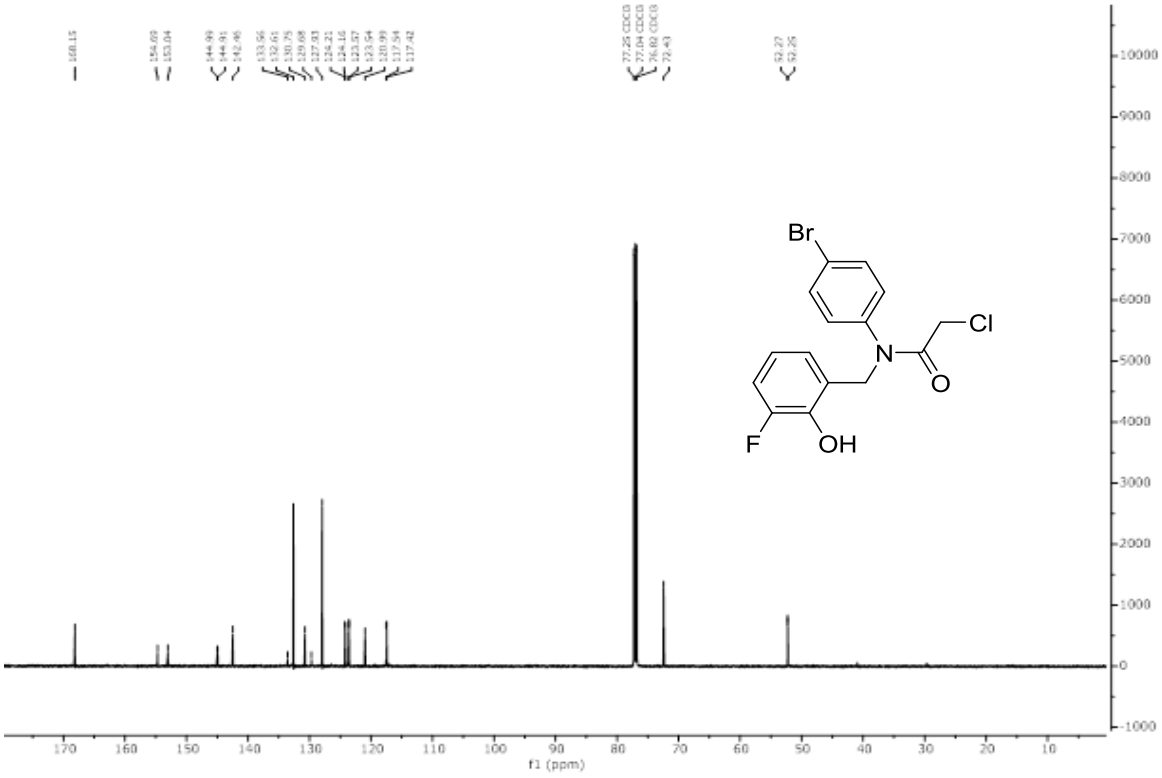

$^{13}$C NMR of **1n** (150 MHz, $CDCl_3$)



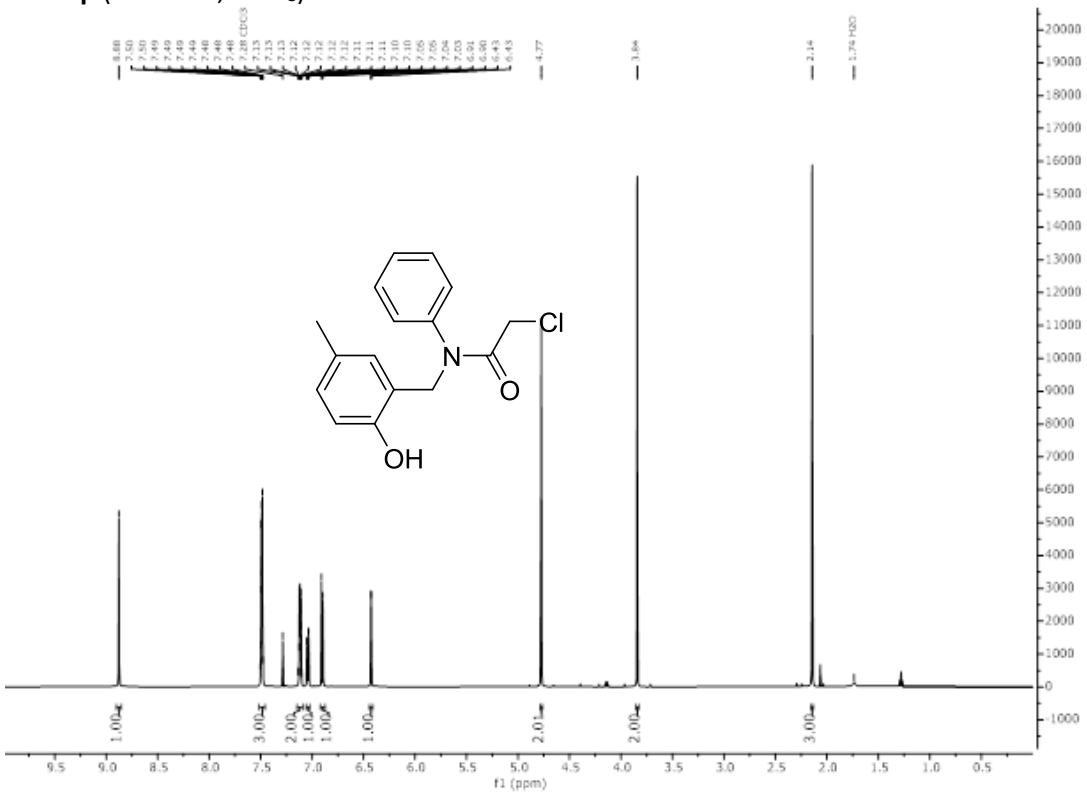
$^{1}$H NMR of **1o** (600 MHz, $CDCl_3$)



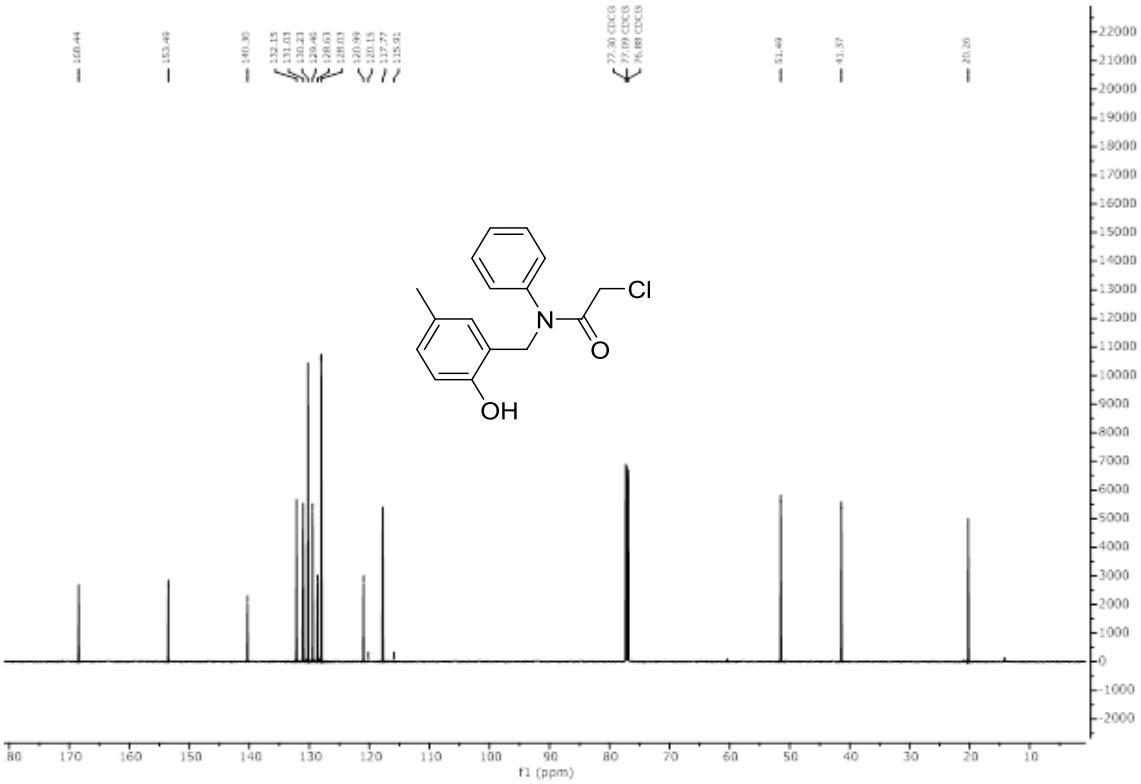

$^{13}$C NMR of **1o** (150 MHz, $CDCl_3$)



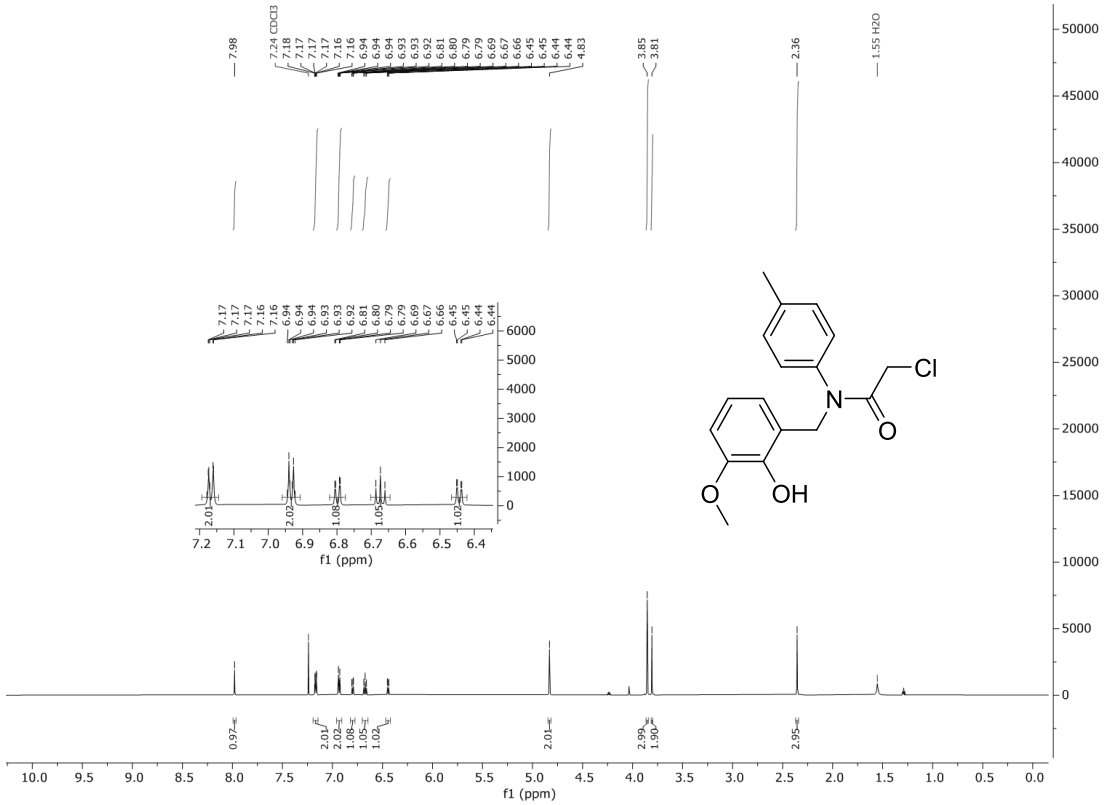
$^{1}$H NMR of **1p** (600 MHz, $CDCl_3$)



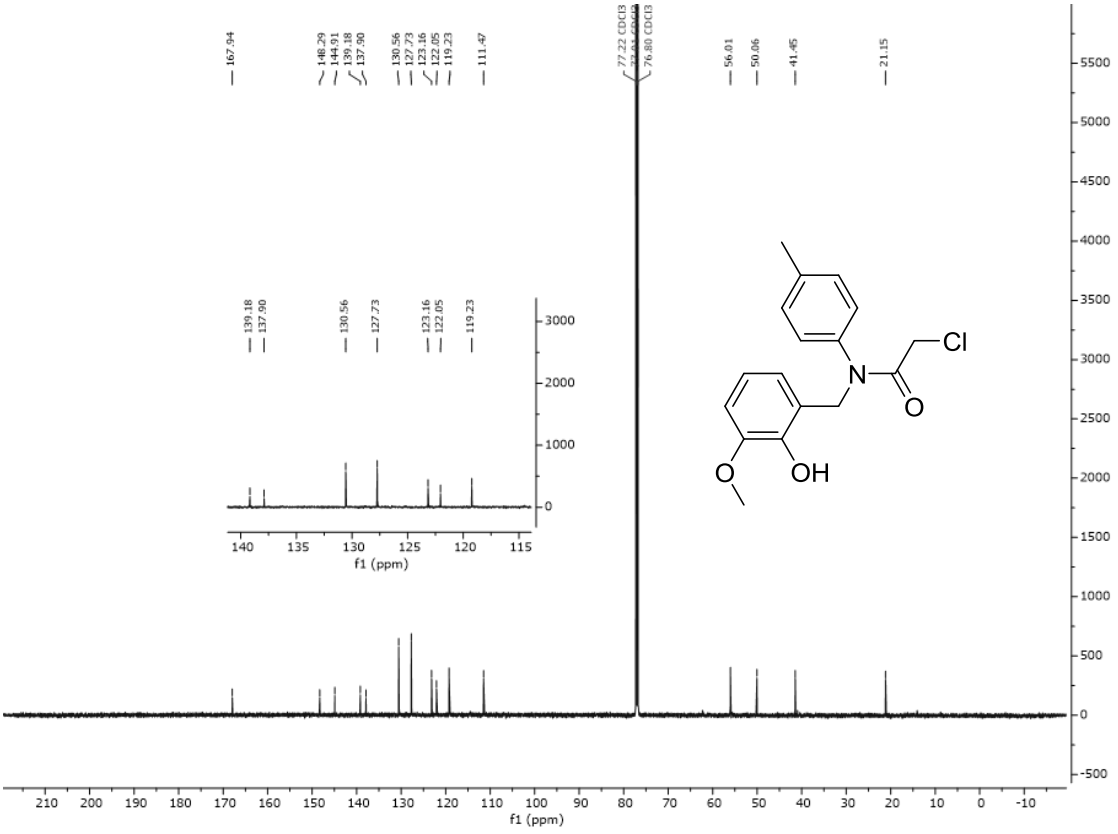

$^{13}$C NMR of **1p** (150 MHz, $CDCl_3$)



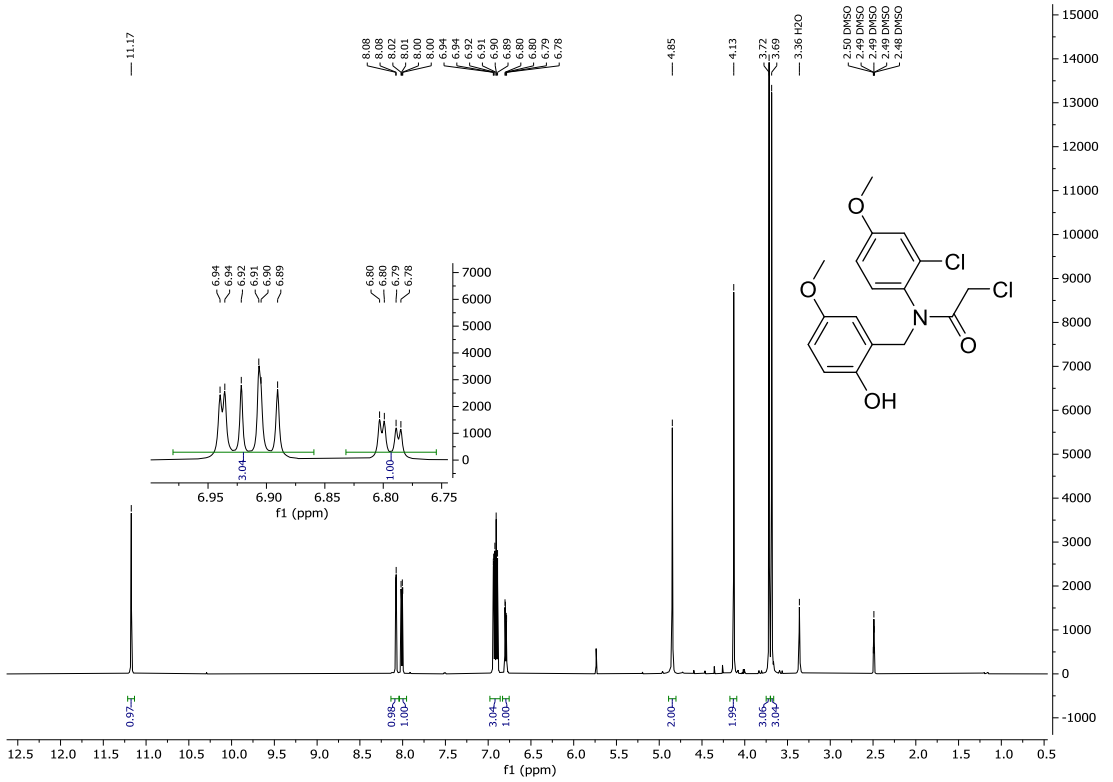
$^{1}$H NMR of **1q** (600 MHz, $CDCl_3$)



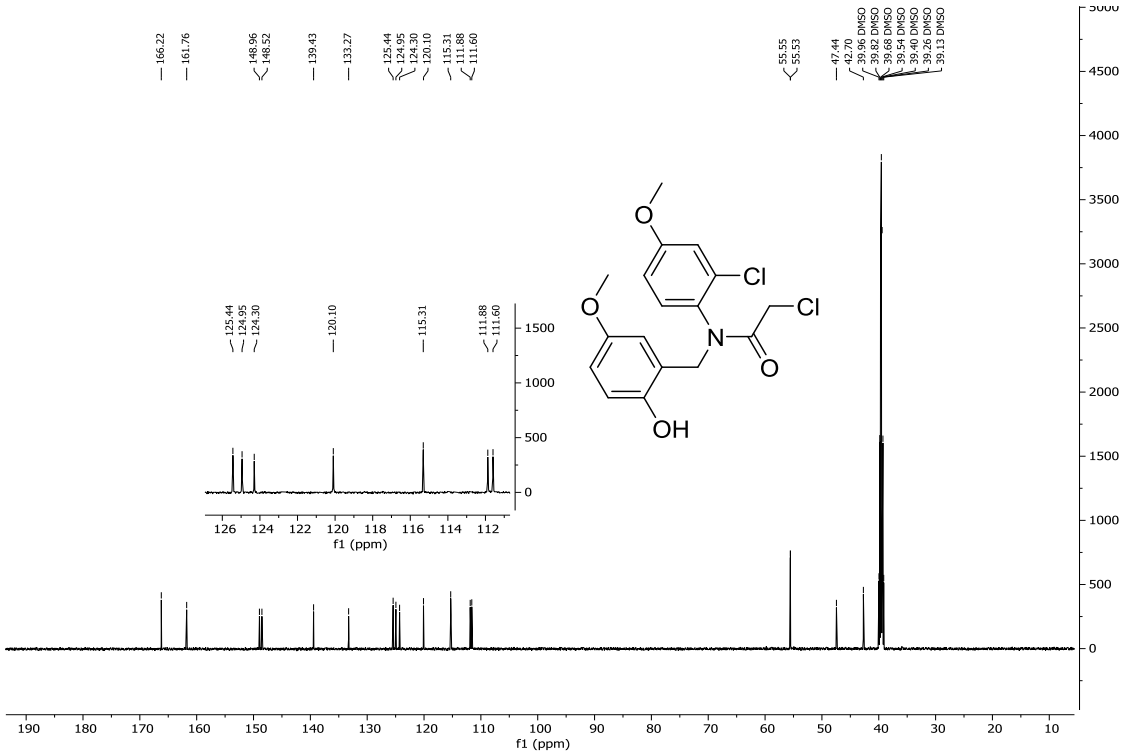

$^{13}$C NMR of **1q** (150 MHz, $CDCl_3$)



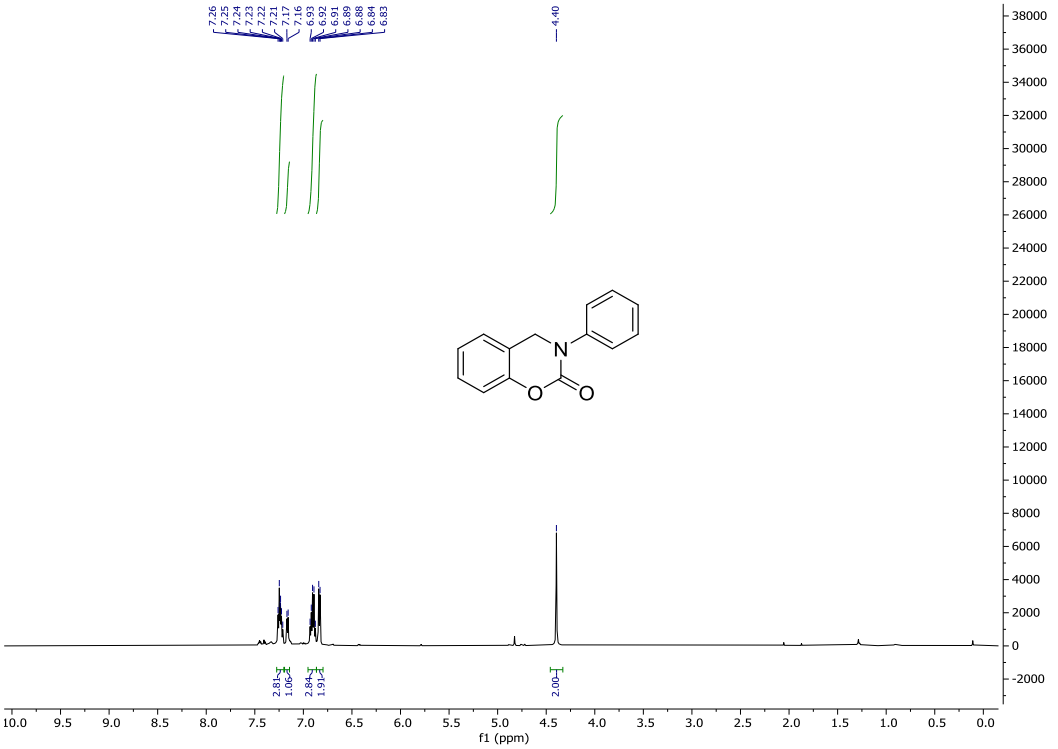
$^{1}$H NMR of **1r** (600 MHz, DMSO)



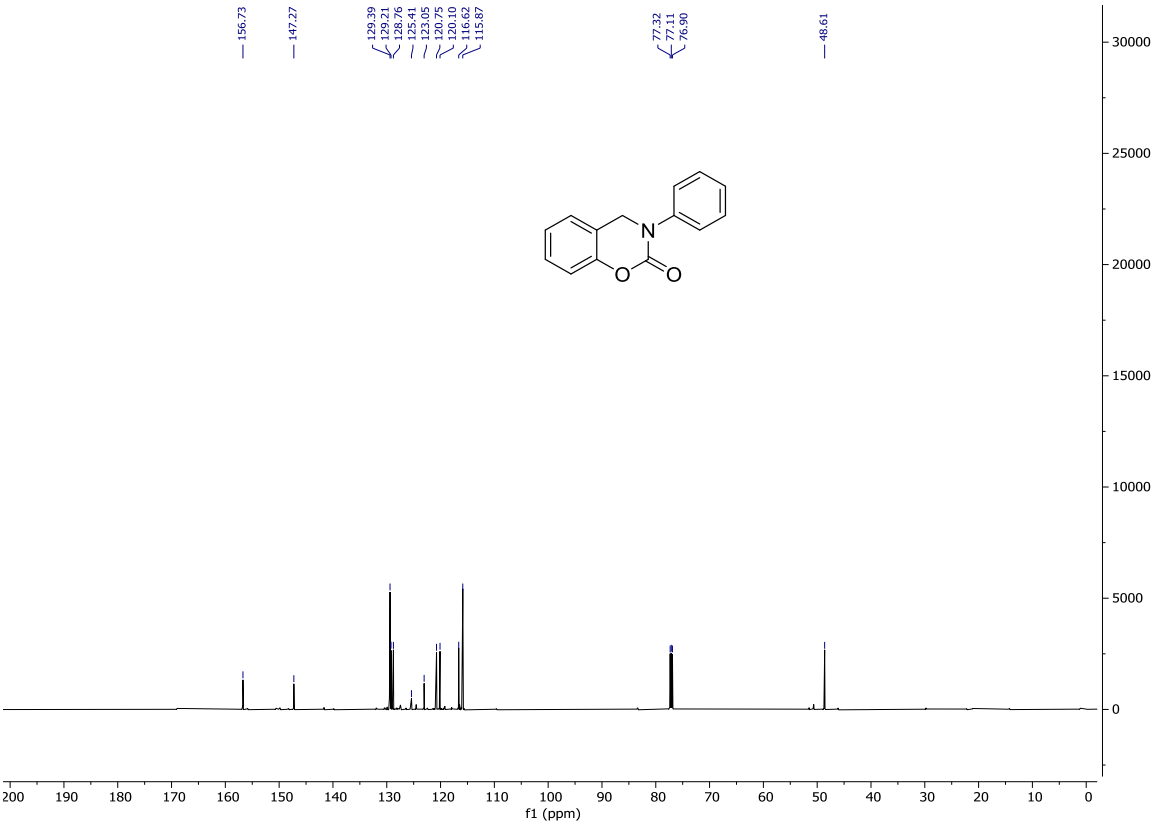

$^{13}$C NMR of **1r** (150 MHz, DMSO)



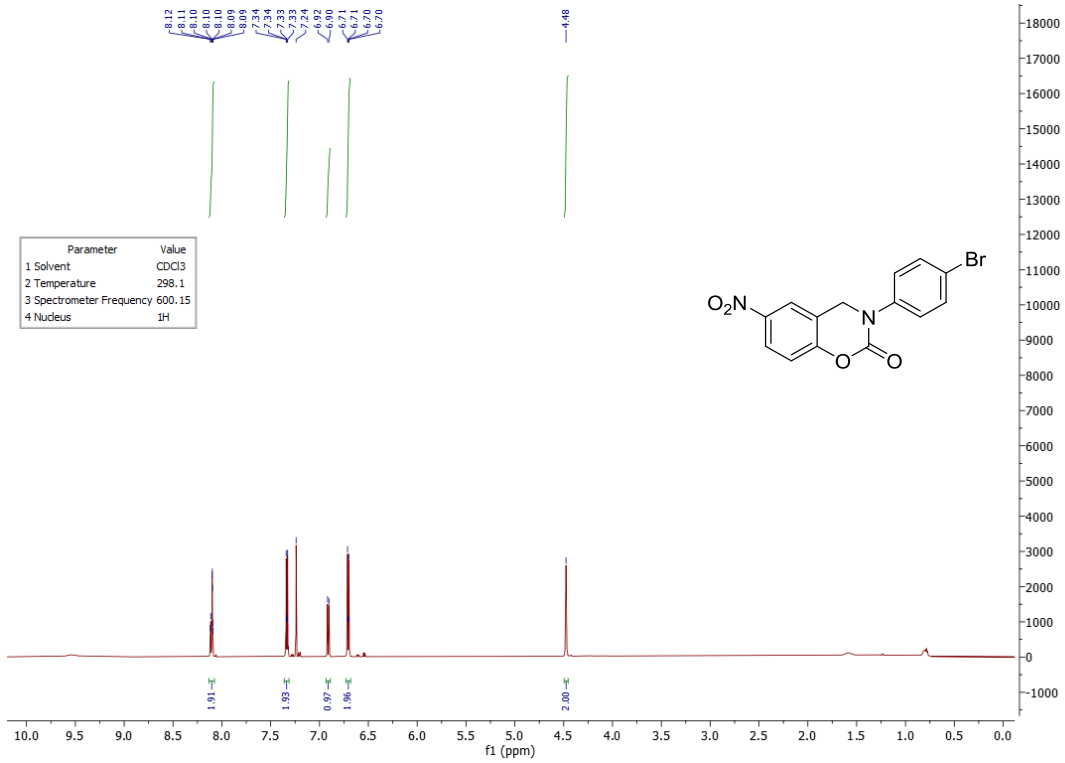
$^{1}$H NMR of **2a** (600 MHz, $CDCl_3$)



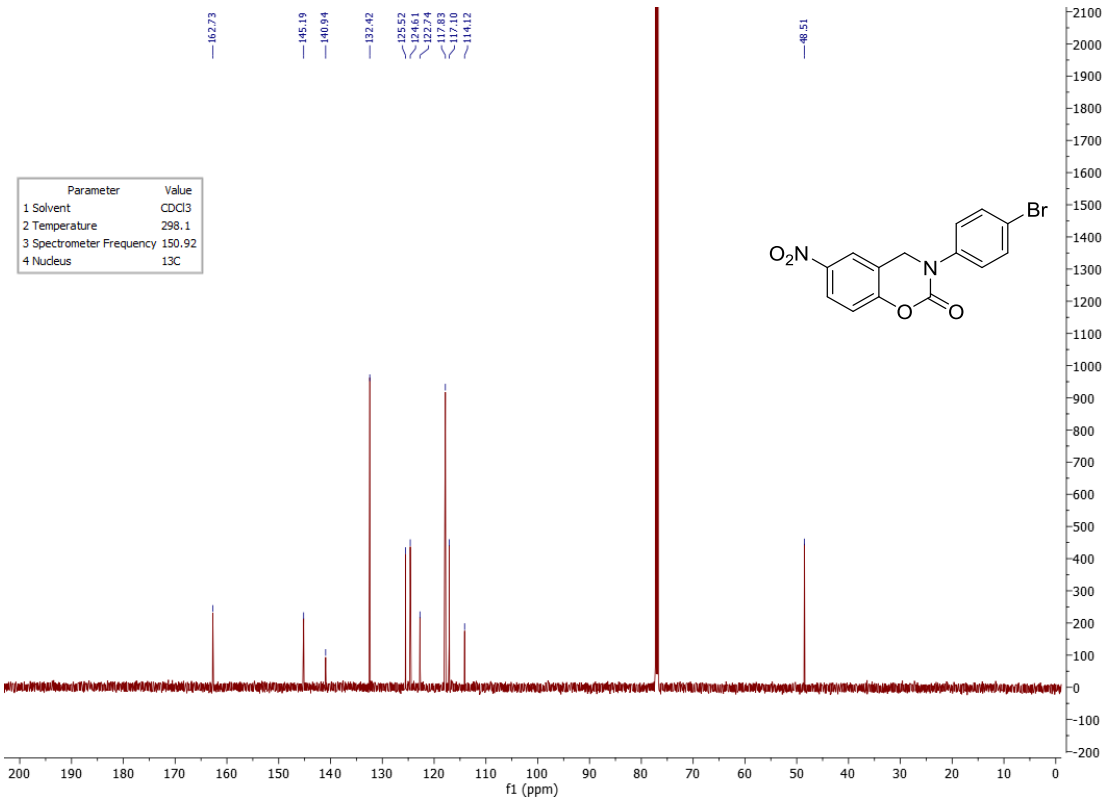

$^{13}$C NMR of **2a** (150 MHz, $CDCl_3$)



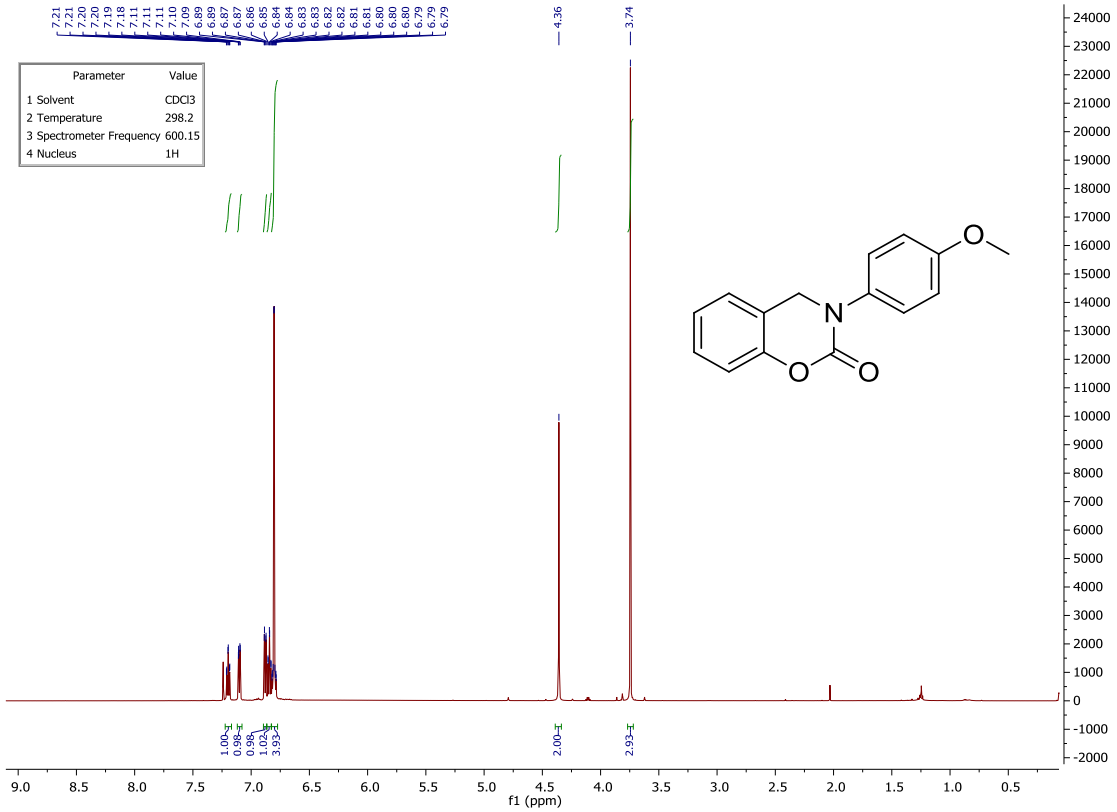
$^{1}$H NMR of **2b** (600 MHz, $CDCl_3$)



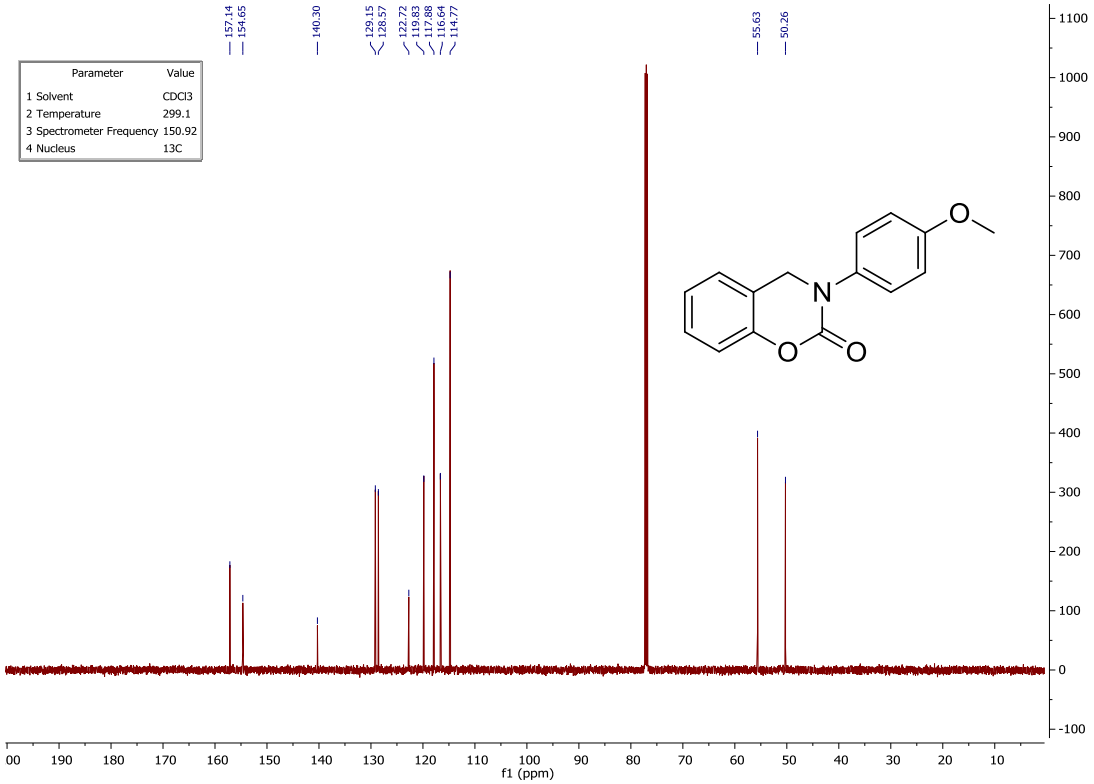

$^{13}$C NMR of **2b** (150 MHz, $CDCl_3$)



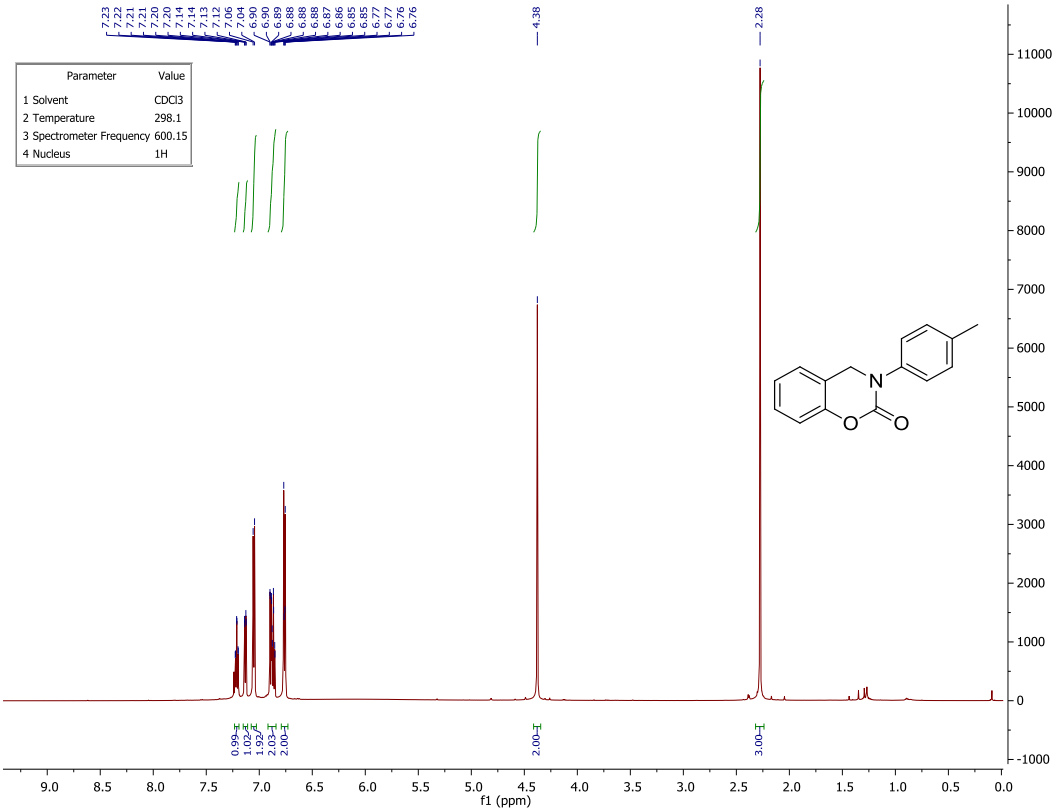
$^{1}$H NMR of **2c** (600 MHz, $CDCl_3$)



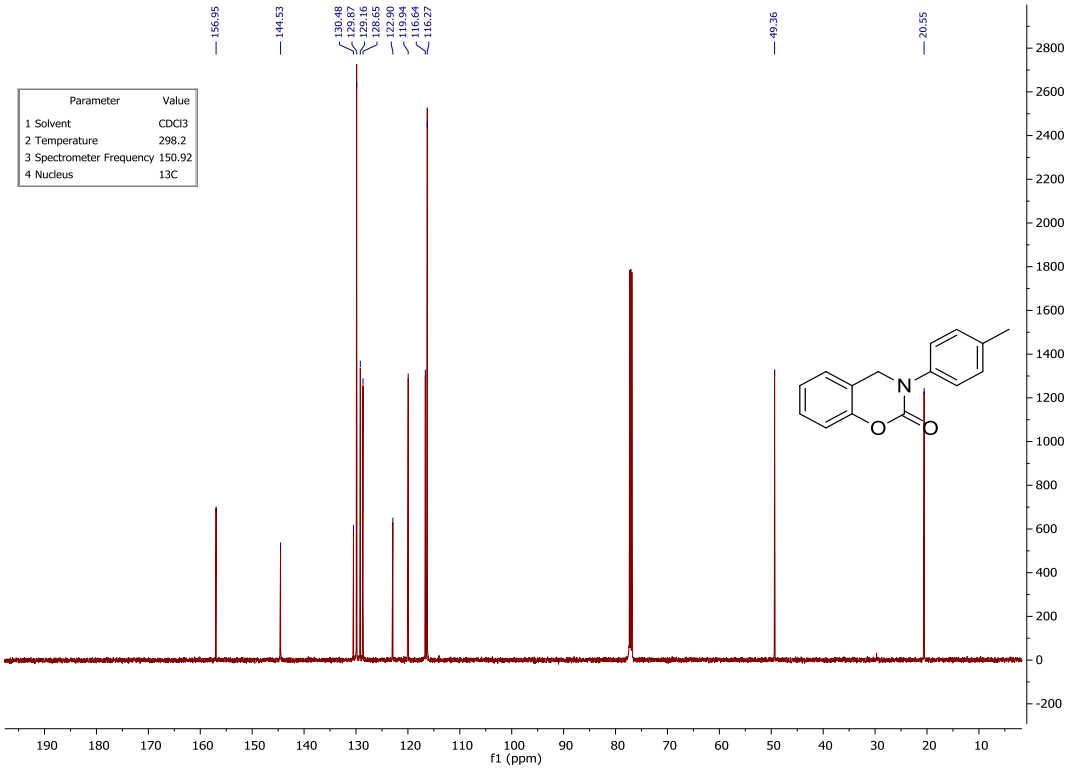

$^{13}$C NMR of **2c** (150 MHz, $CDCl_3$)



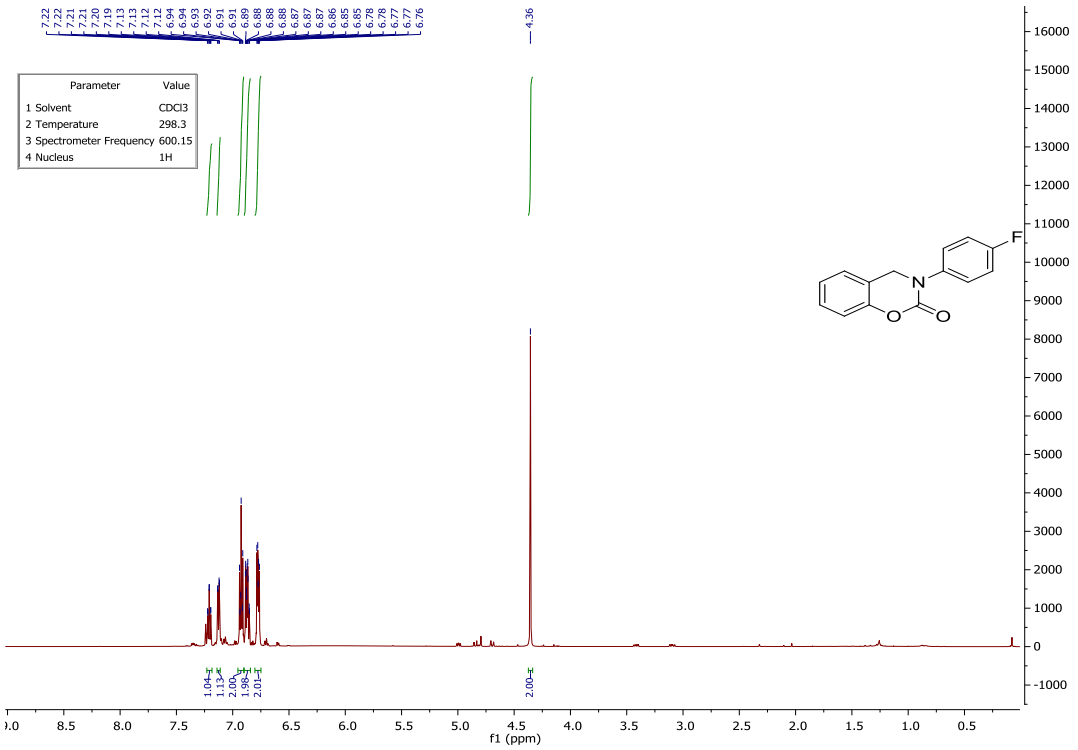
$^{1}$H NMR of **2d** (600 MHz, $CDCl_3$)



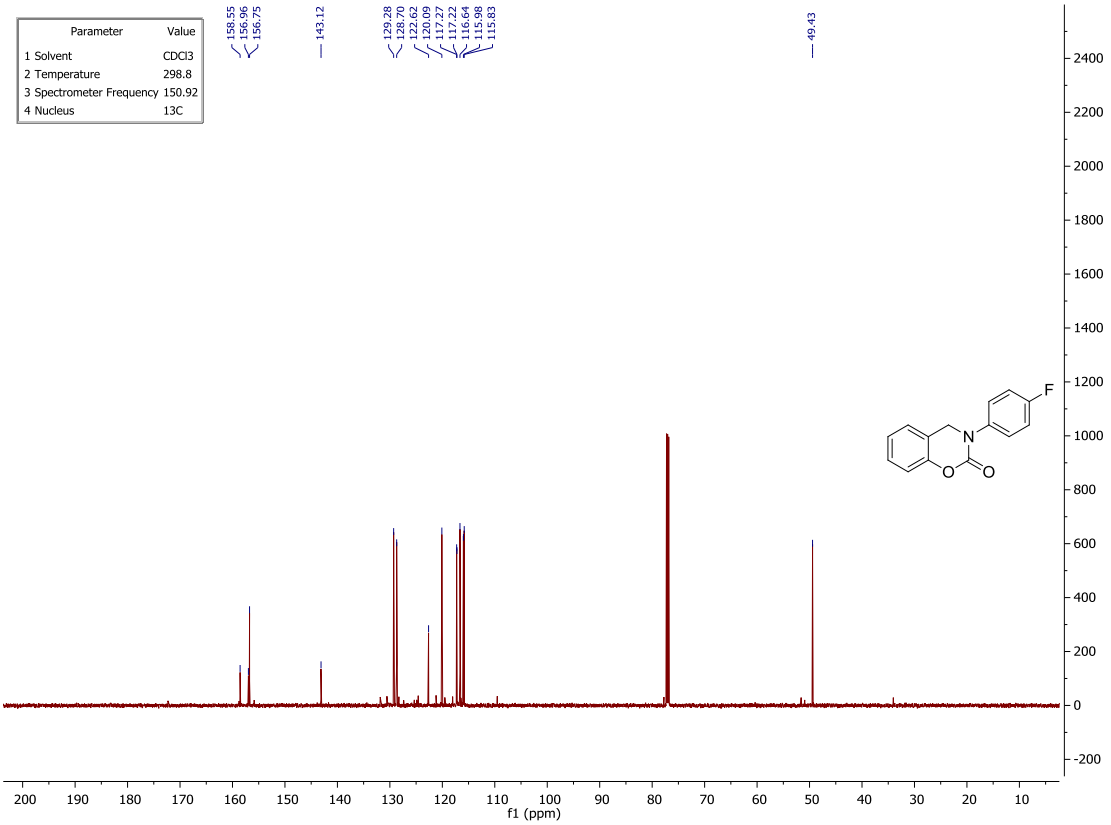

$^{13}$C NMR of **2d** (150 MHz, $CDCl_3$)



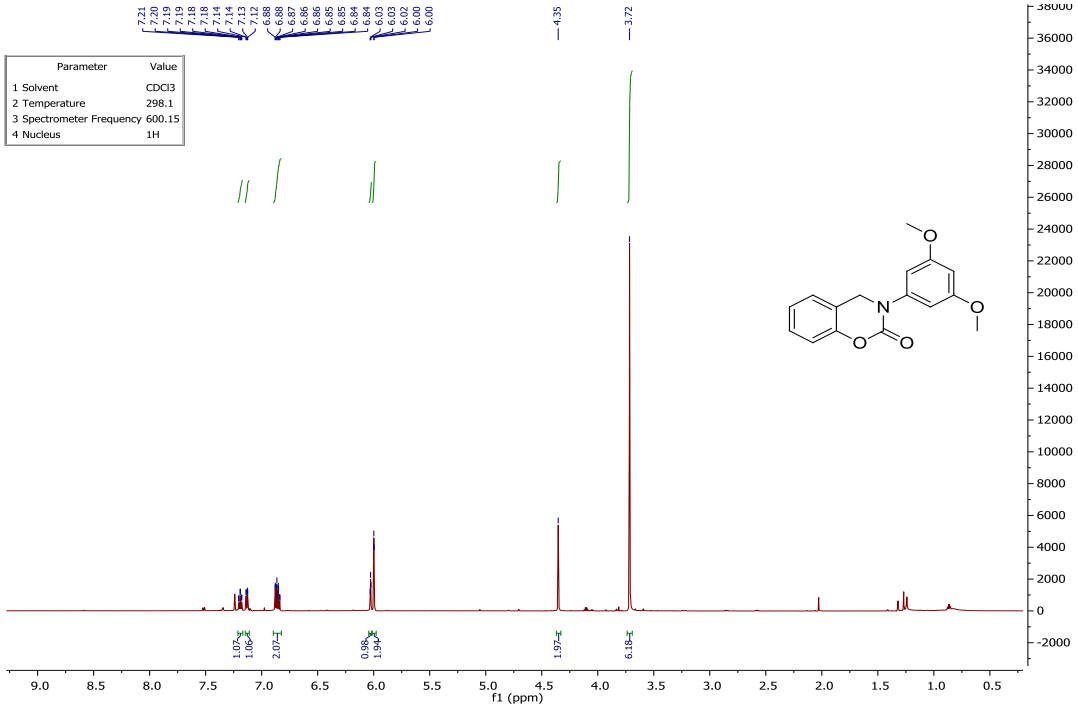
$^{1}$H NMR of **2e** (600 MHz, $CDCl_3$)



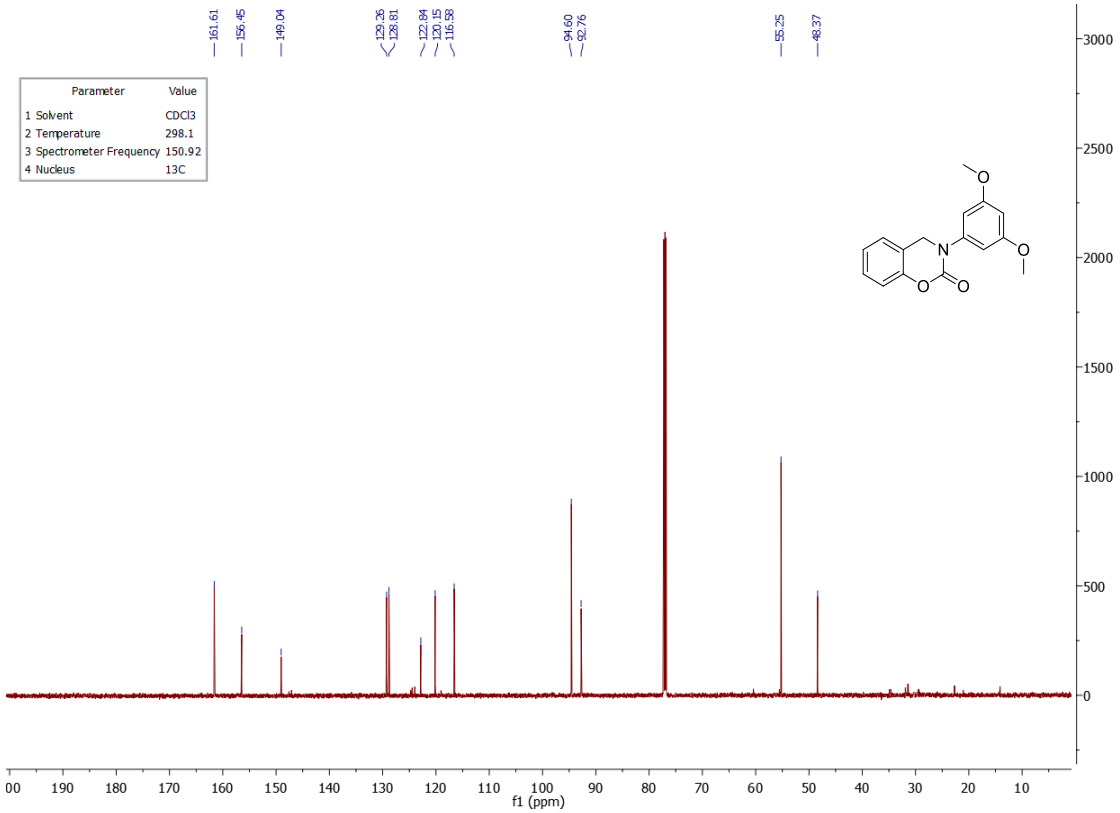

$^{13}$C NMR of **2e** (150 MHz, $CDCl_3$)



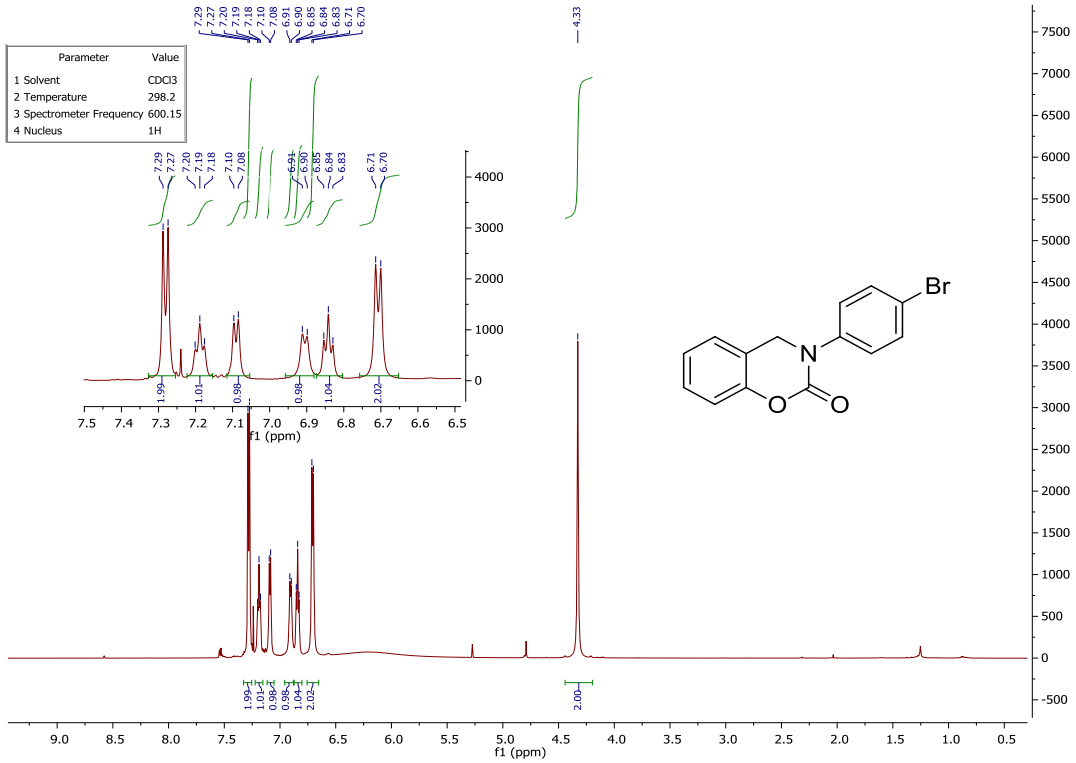
$^{1}$H NMR of **2f** (600 MHz, $CDCl_3$)



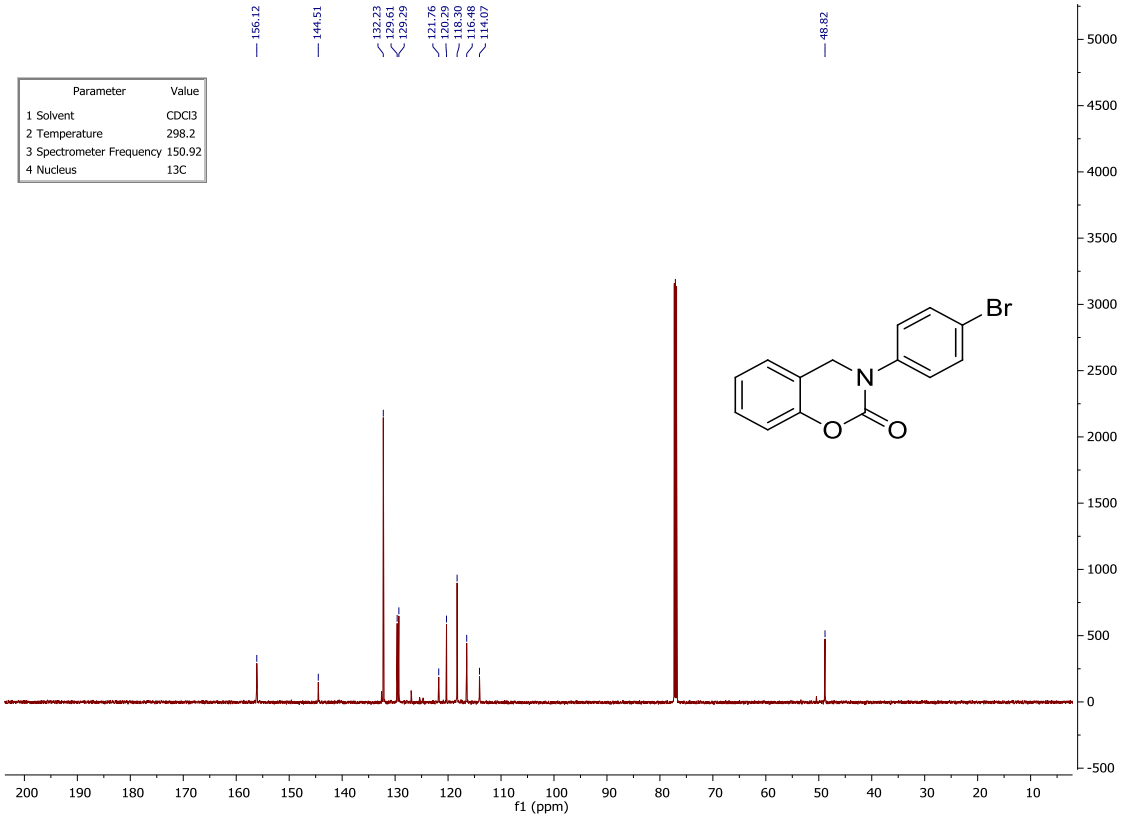

$^{13}$C NMR of **2f** (150 MHz, $CDCl_3$)



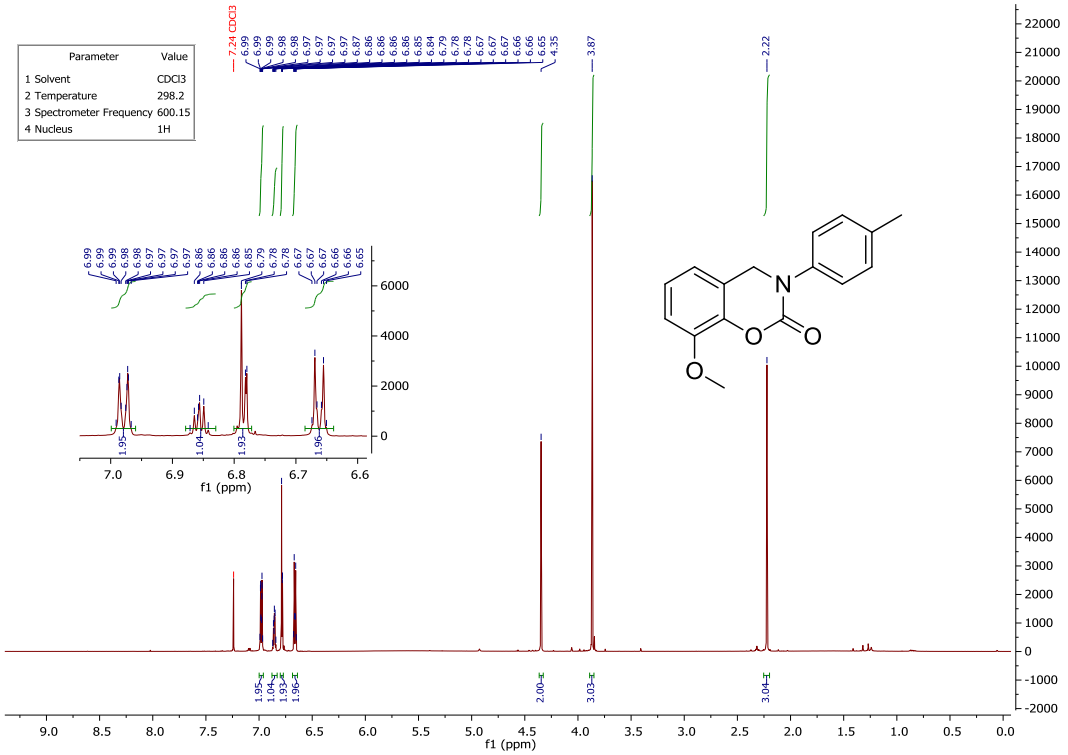
$^{1}$H NMR of **2g** (600 MHz, $CDCl_3$)



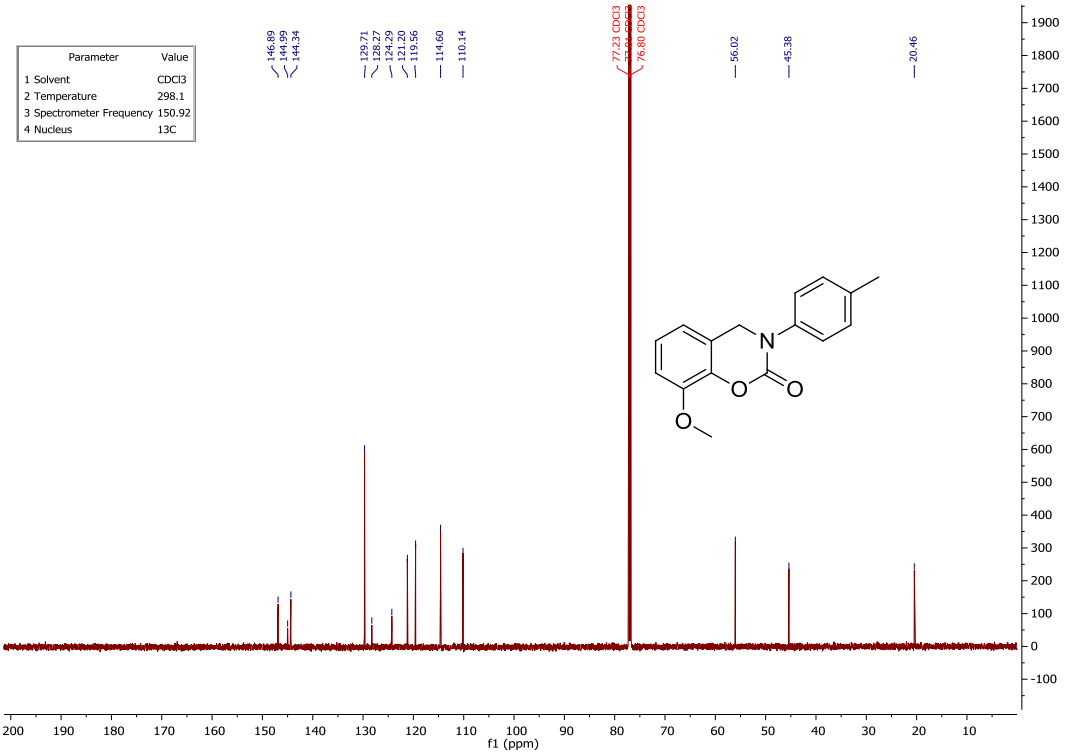

$^{13}$C NMR of **2g** (150 MHz, $CDCl_3$)



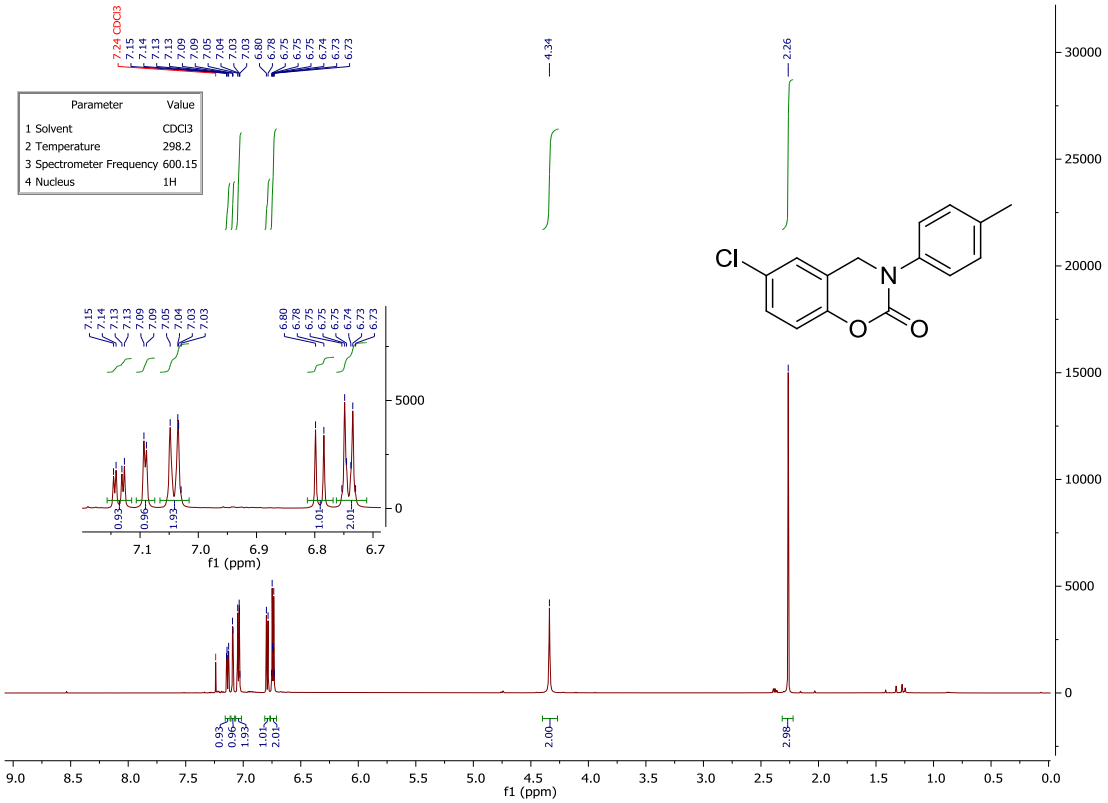
$^{1}$H NMR of **2h** (600 MHz, $CDCl_3$)



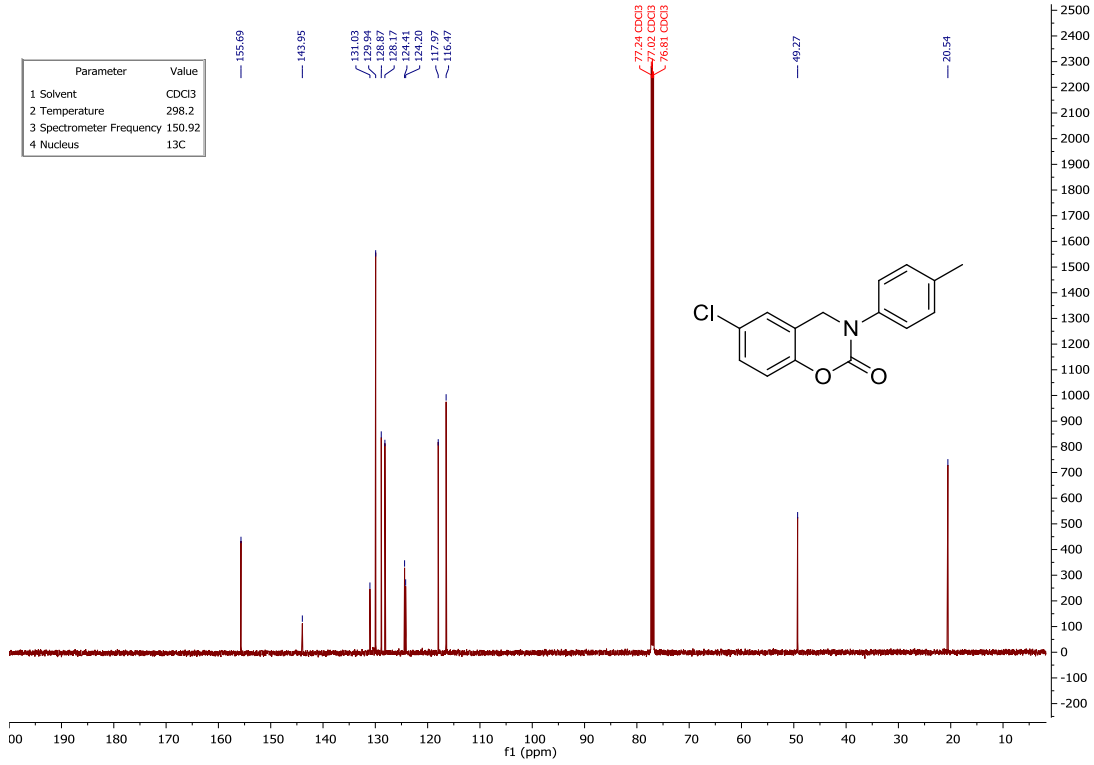

$^{13}$C NMR of **2h** (150 MHz, $CDCl_3$)



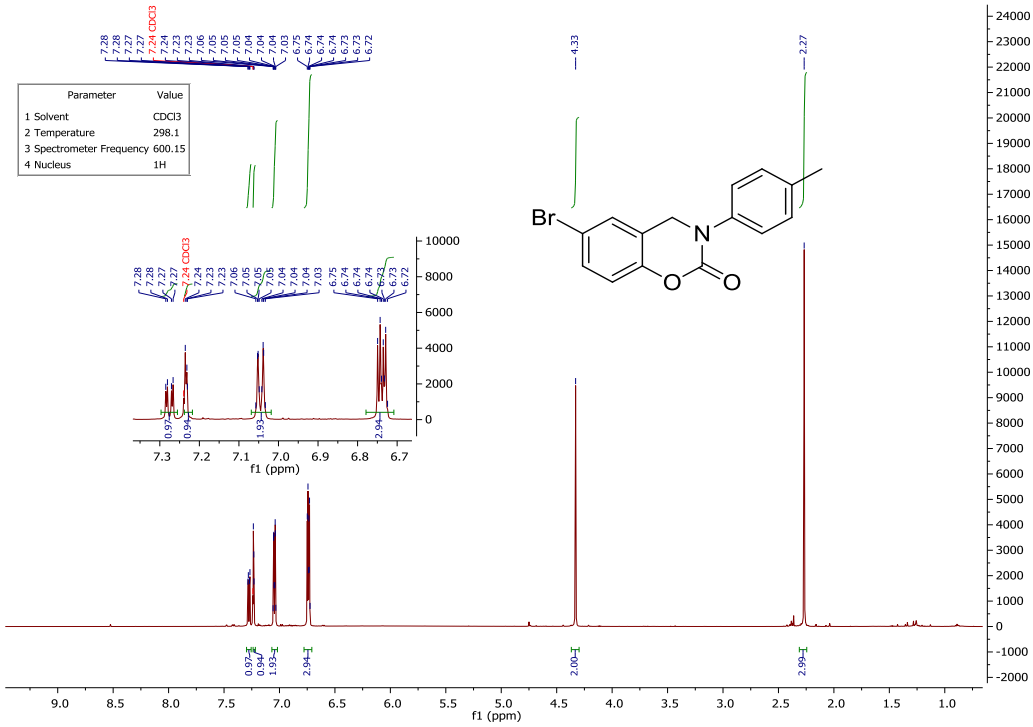
$^{1}$H NMR of **2i** (600 MHz, $CDCl_3$)



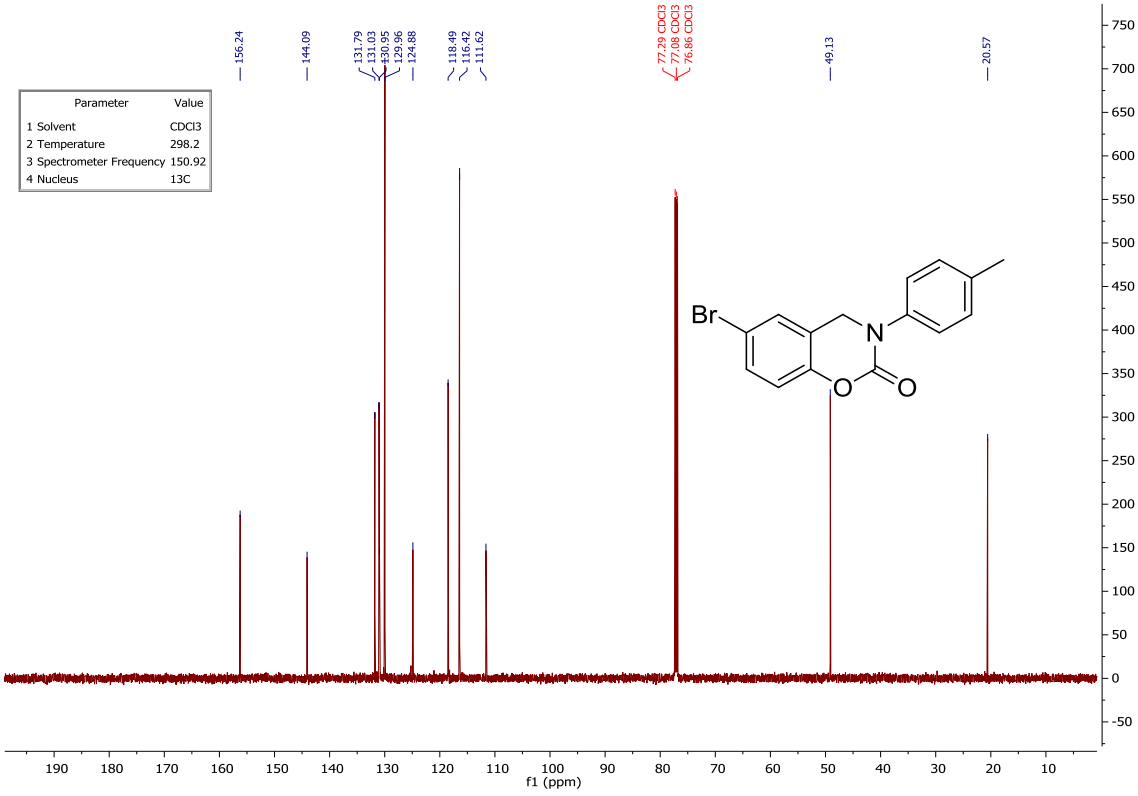

$^{13}$C NMR of **2i** (150 MHz, $CDCl_3$)



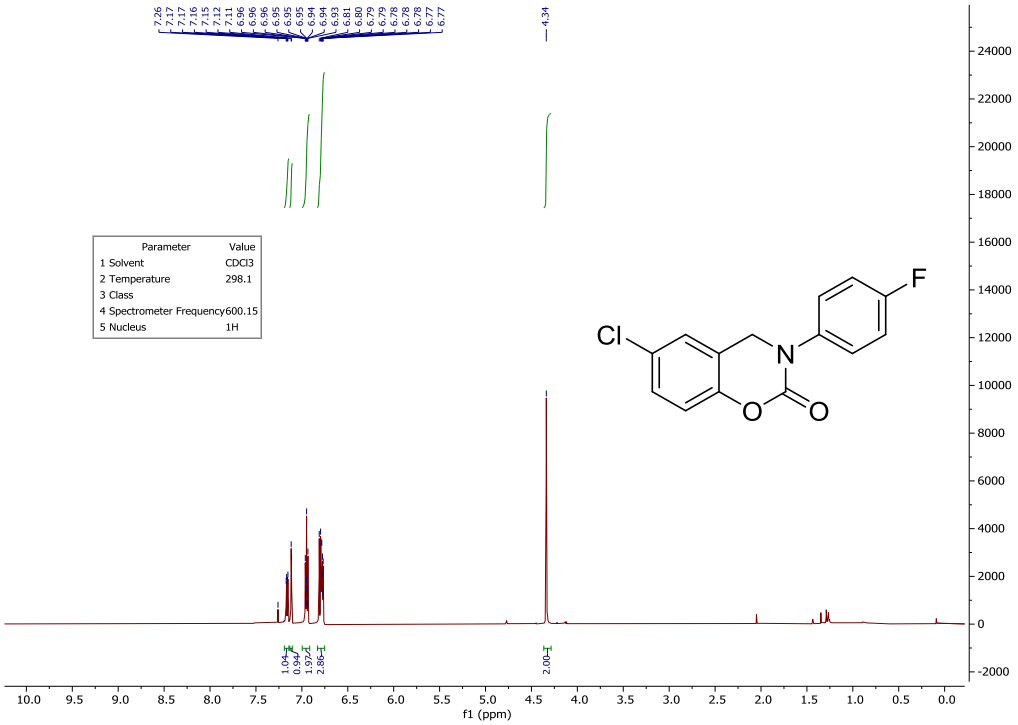
$^{1}$H NMR of **2j** (600 MHz, $CDCl_3$)



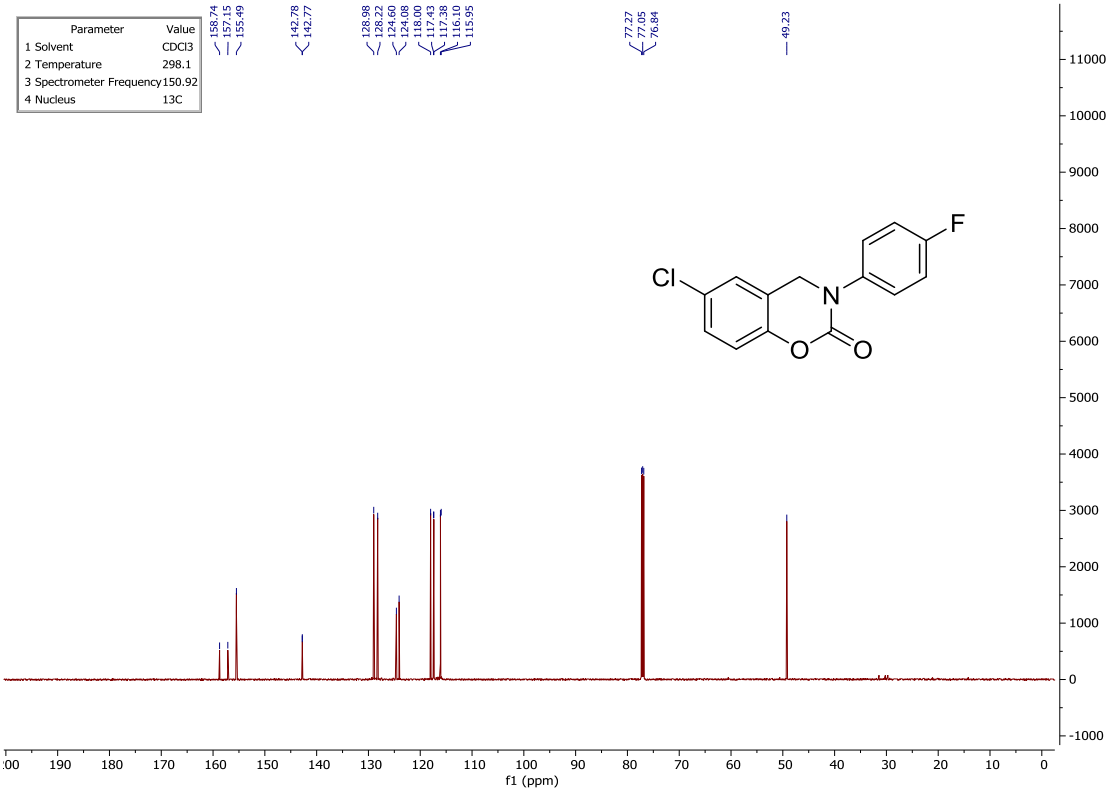

$^{13}$C NMR of **2j** (150 MHz, $CDCl_3$)



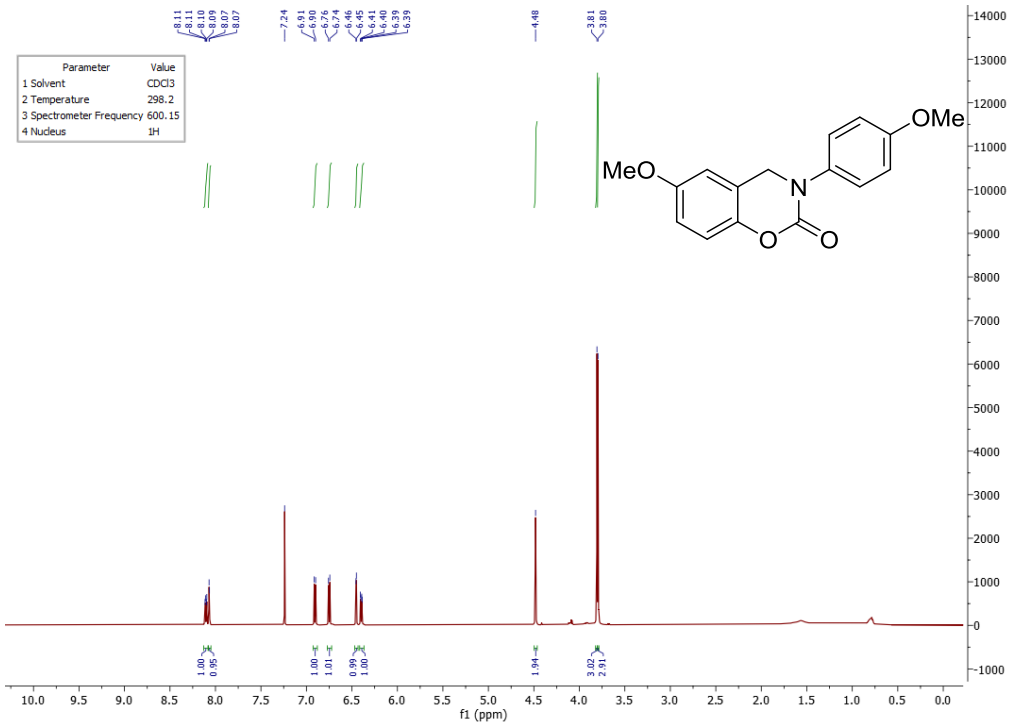
$^{1}$H NMR of **2k** (600 MHz, $CDCl_3$)



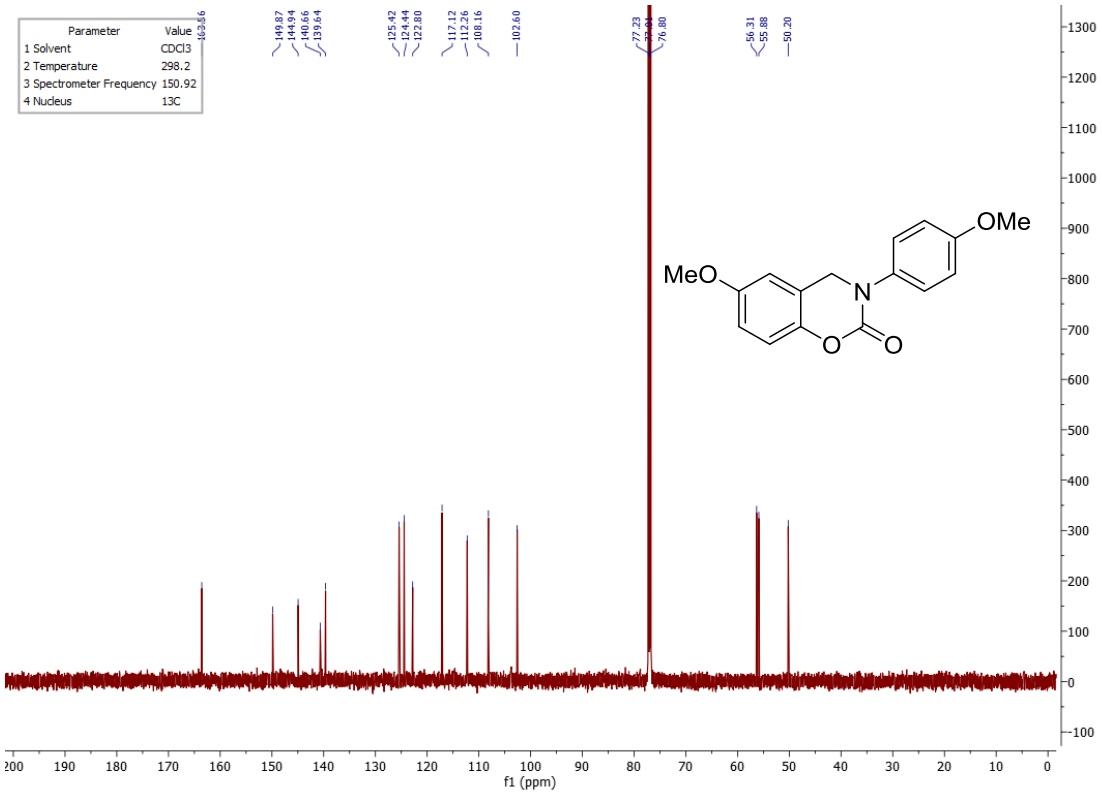

$^{13}$C NMR of **2k** (150 MHz, $CDCl_3$)



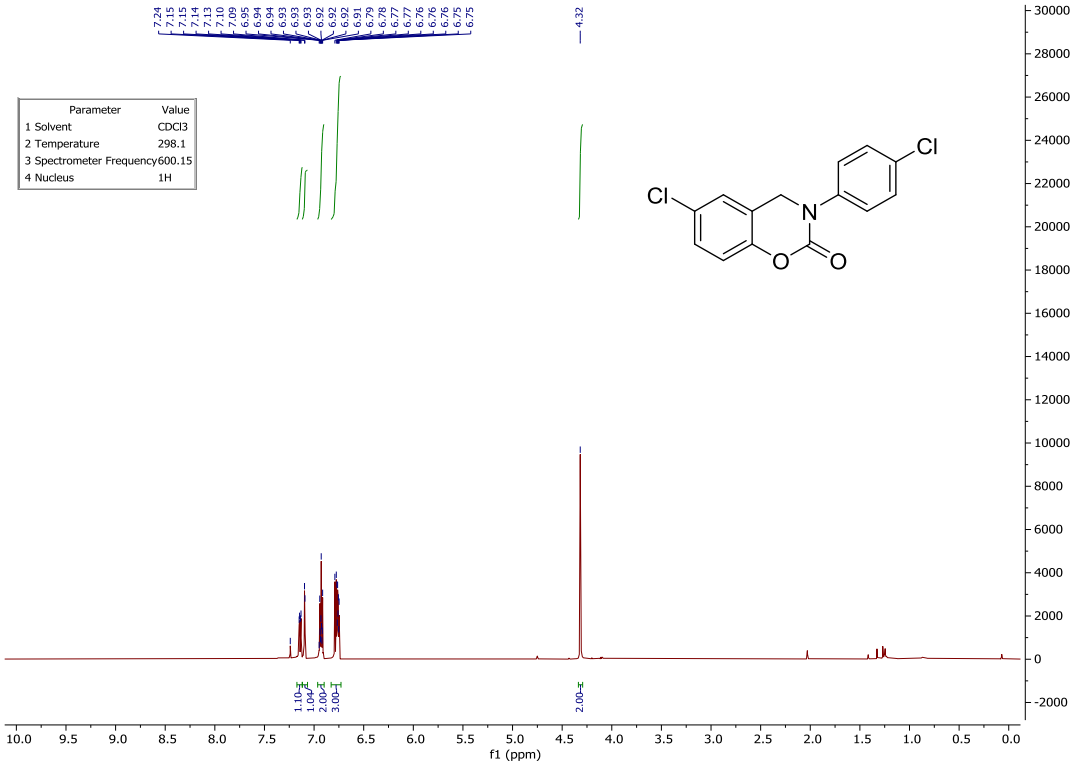
$^{1}$H NMR of **2l** (600 MHz, $CDCl_3$)



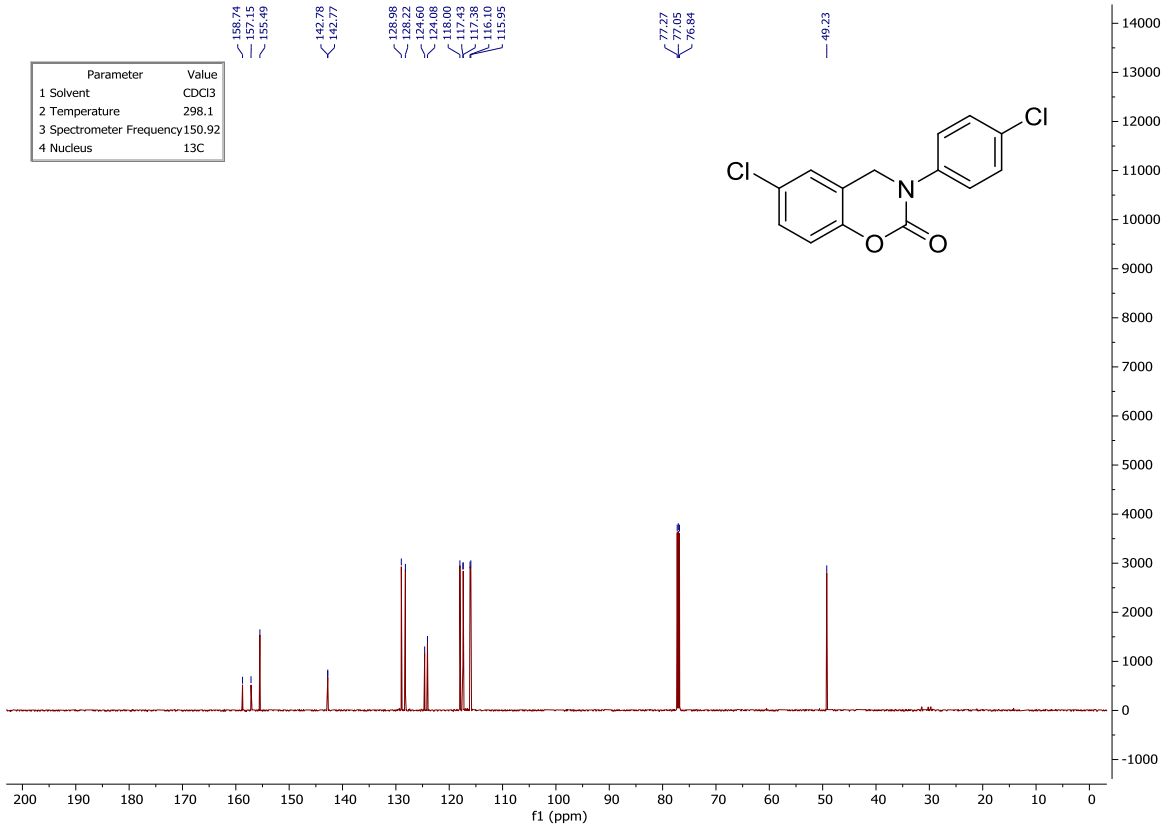

$^{13}$C NMR of **2l** (150 MHz, $CDCl_3$)



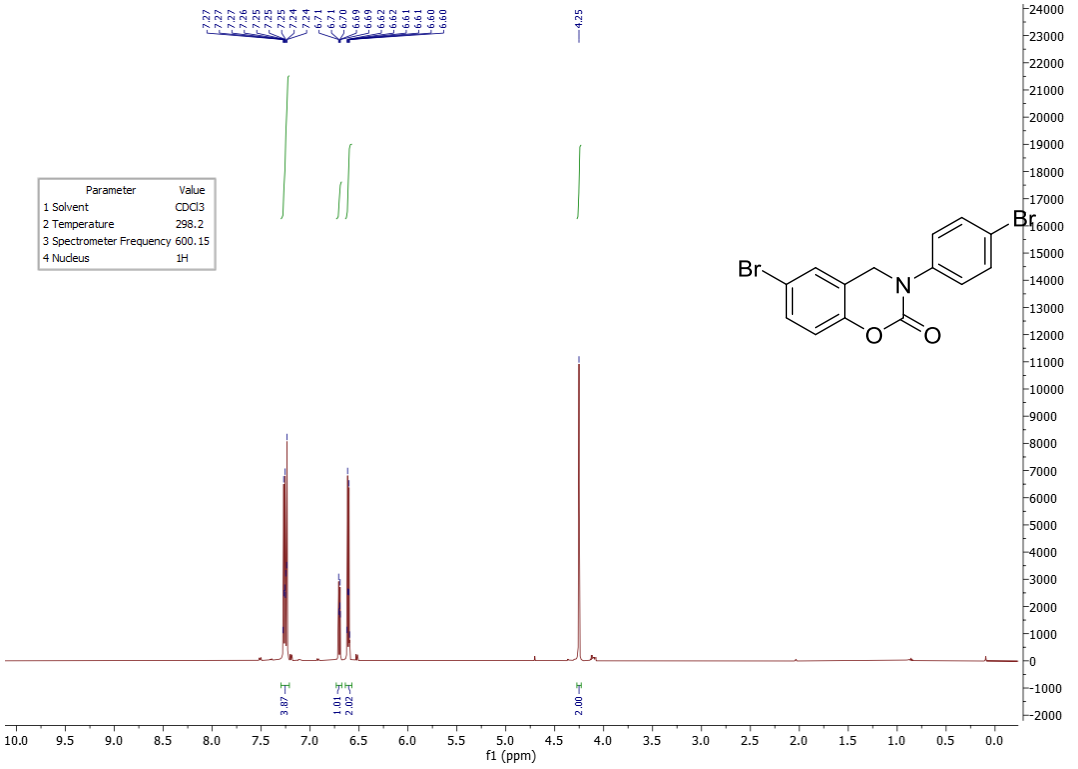
$^{1}$H NMR of **2m** (600 MHz, $CDCl_3$)



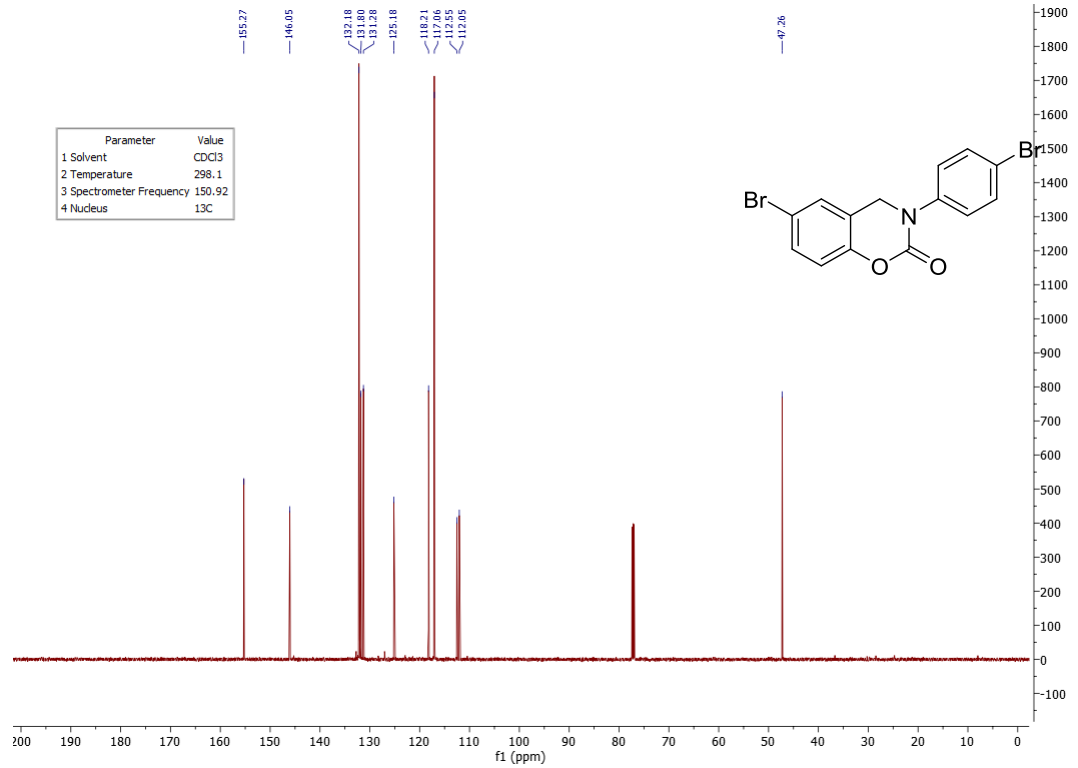

$^{13}$C NMR of **2m** (150 MHz, $CDCl_3$)



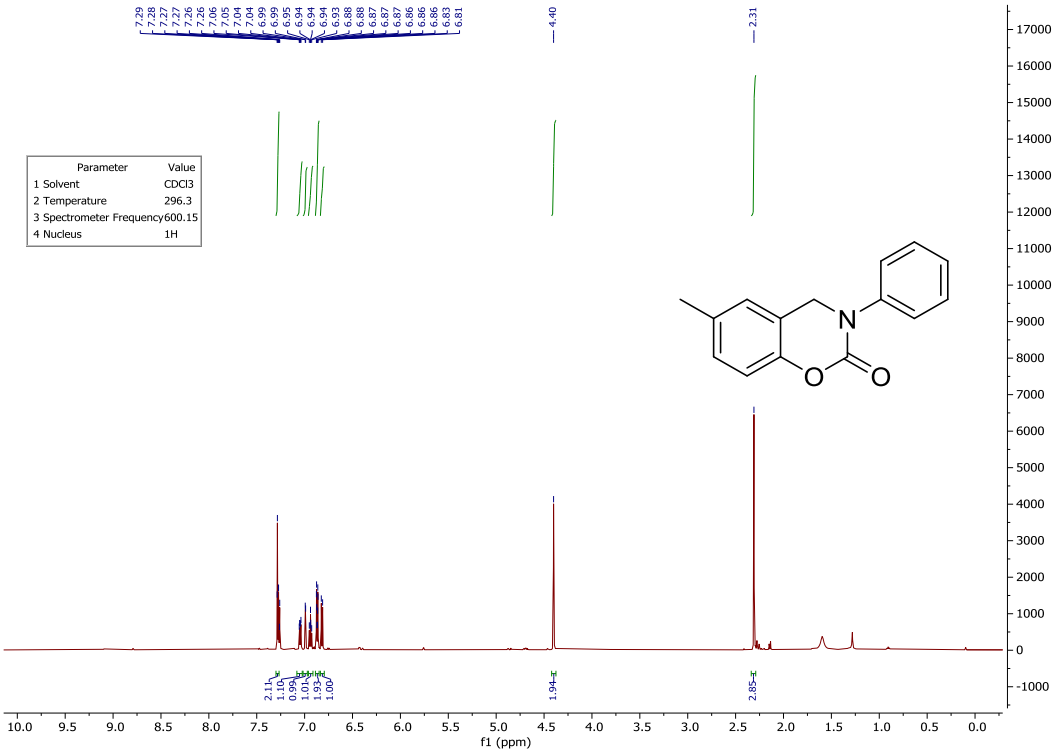
$^{1}$H NMR of **2n** (600 MHz, $CDCl_3$)



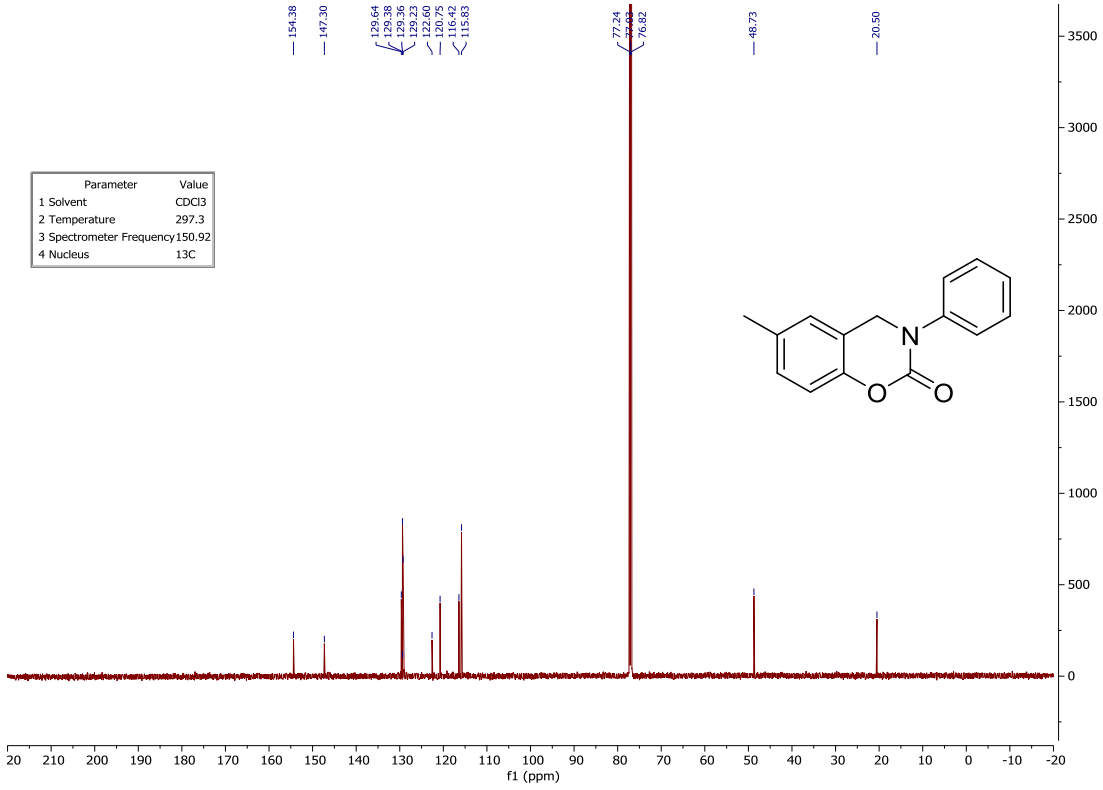

$^{13}$C NMR of **2n** (150 MHz, $CDCl_3$)



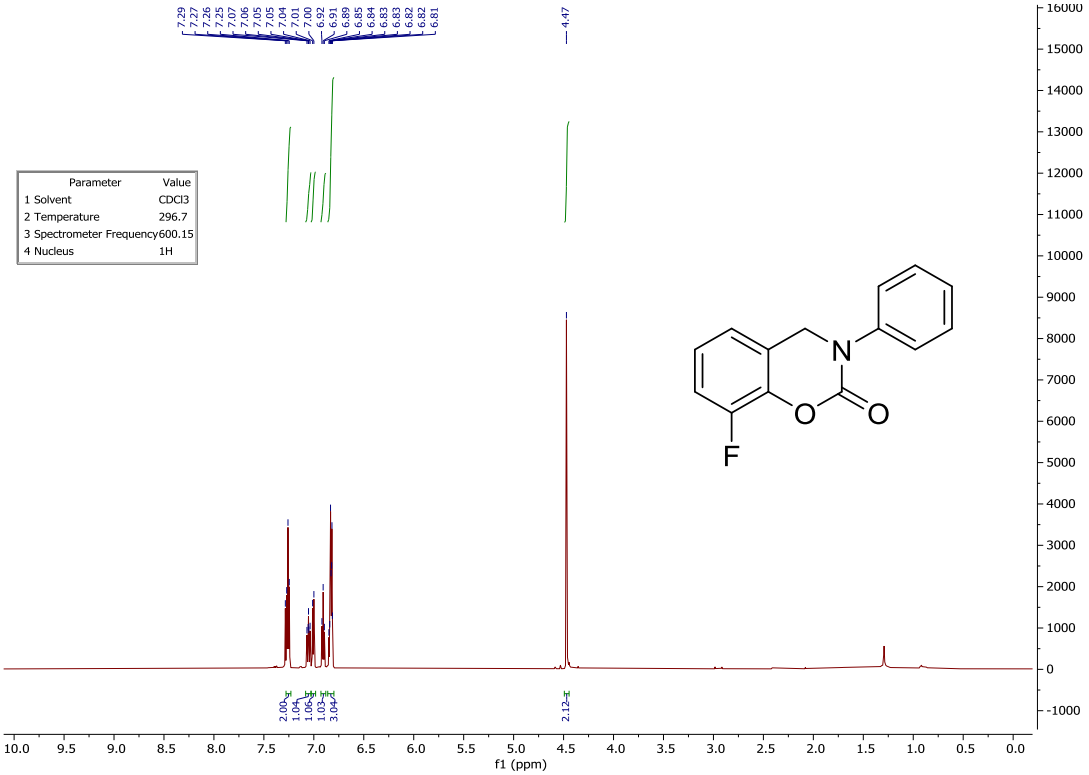
$^{1}$H NMR of **2o** (600 MHz, $CDCl_3$)



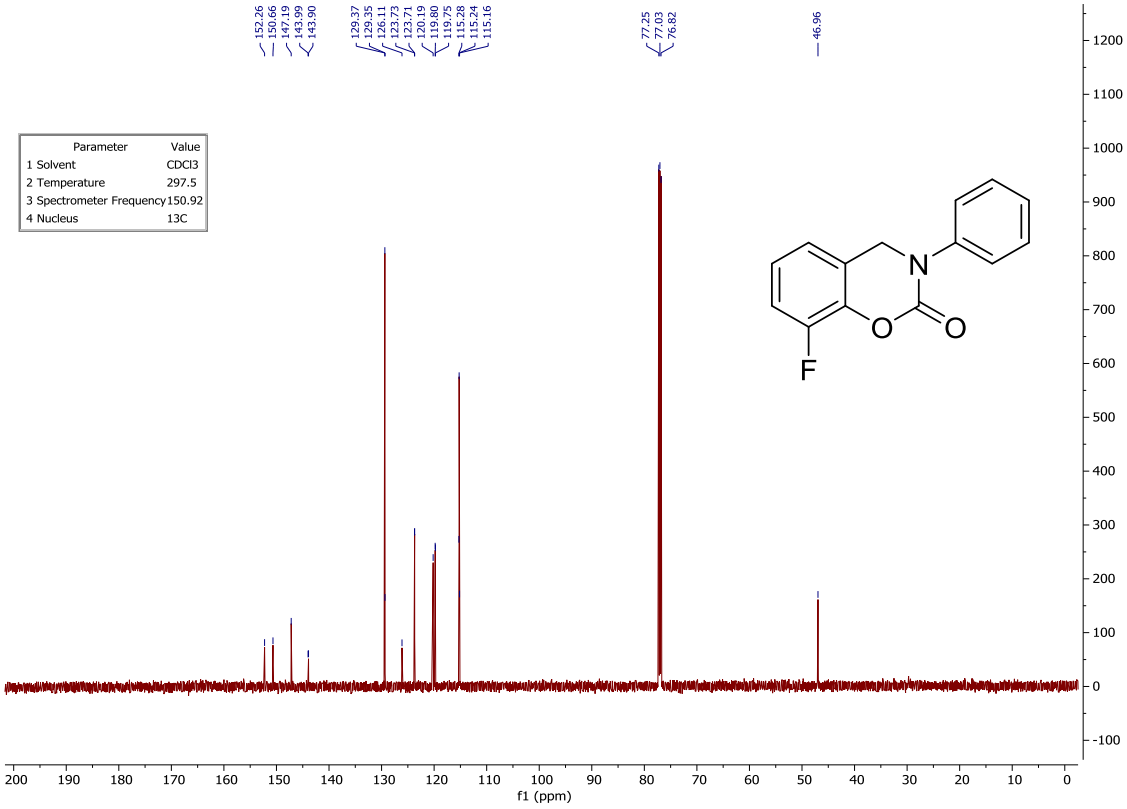

$^{13}$C NMR of **2o** (150 MHz, $CDCl_3$)



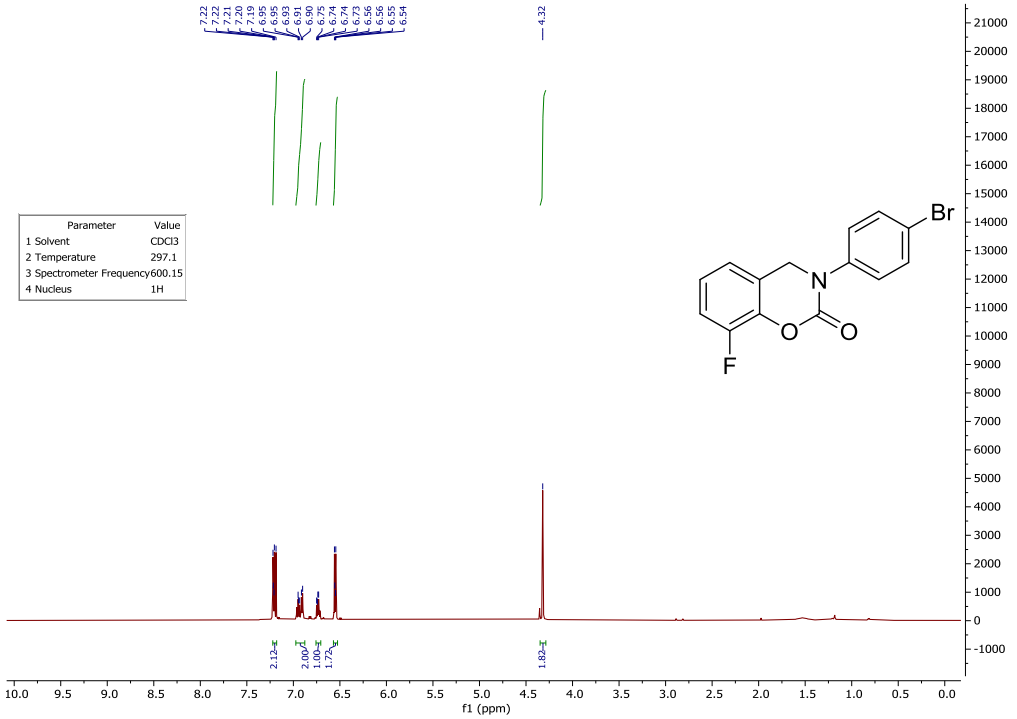
$^{1}$H NMR of **2p** (600 MHz, $CDCl_3$)



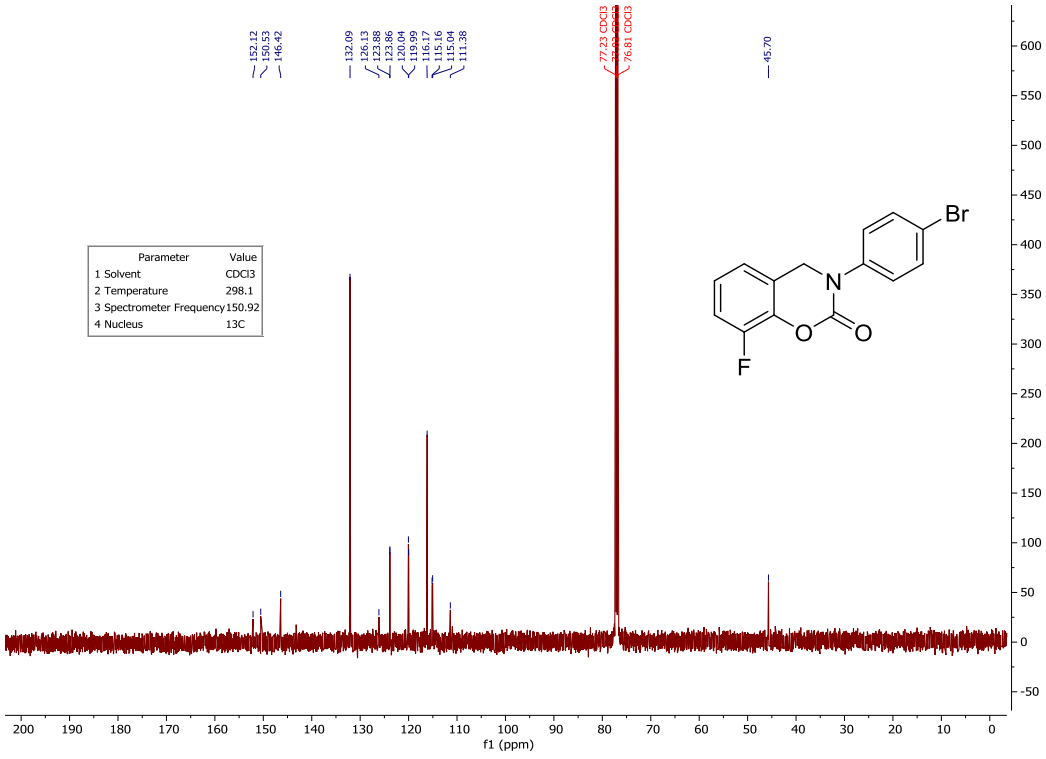

$^{13}$C NMR of **2p** (150 MHz, $CDCl_3$)



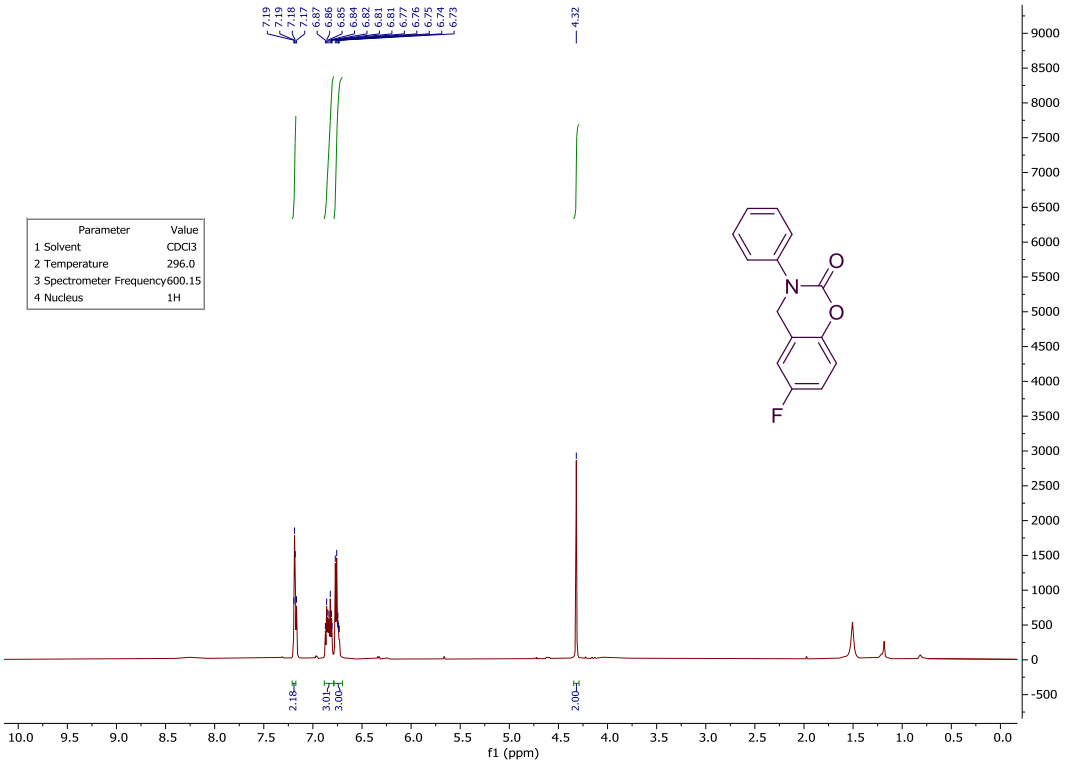
$^{1}$H NMR of **2q** (600 MHz, $CDCl_3$)



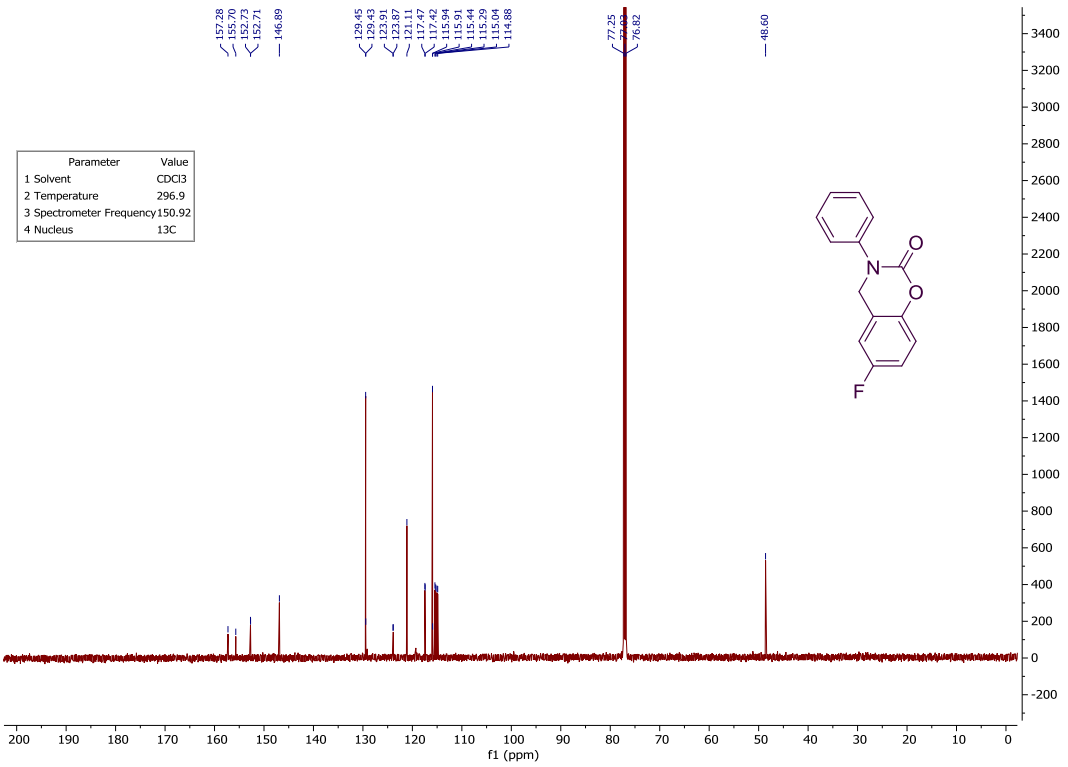

$^{13}$C NMR of **2q** (150 MHz, $CDCl_3$)



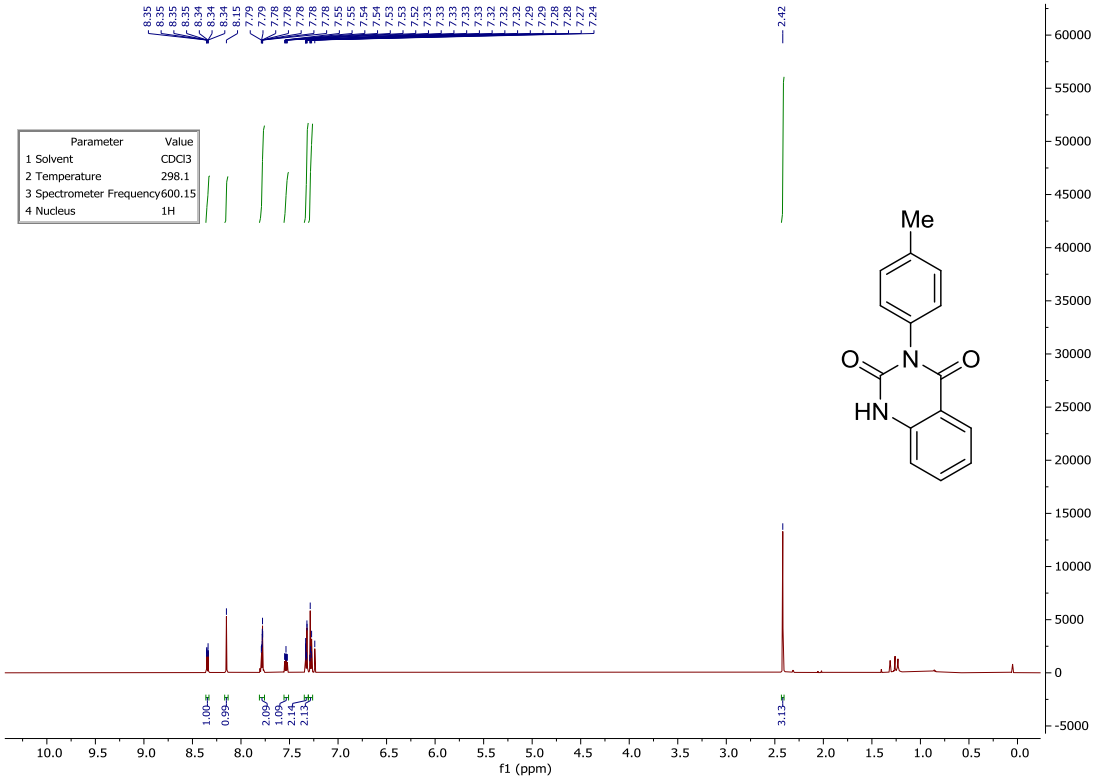
$^{1}$H NMR of **2r** (600 MHz, $CDCl_3$)



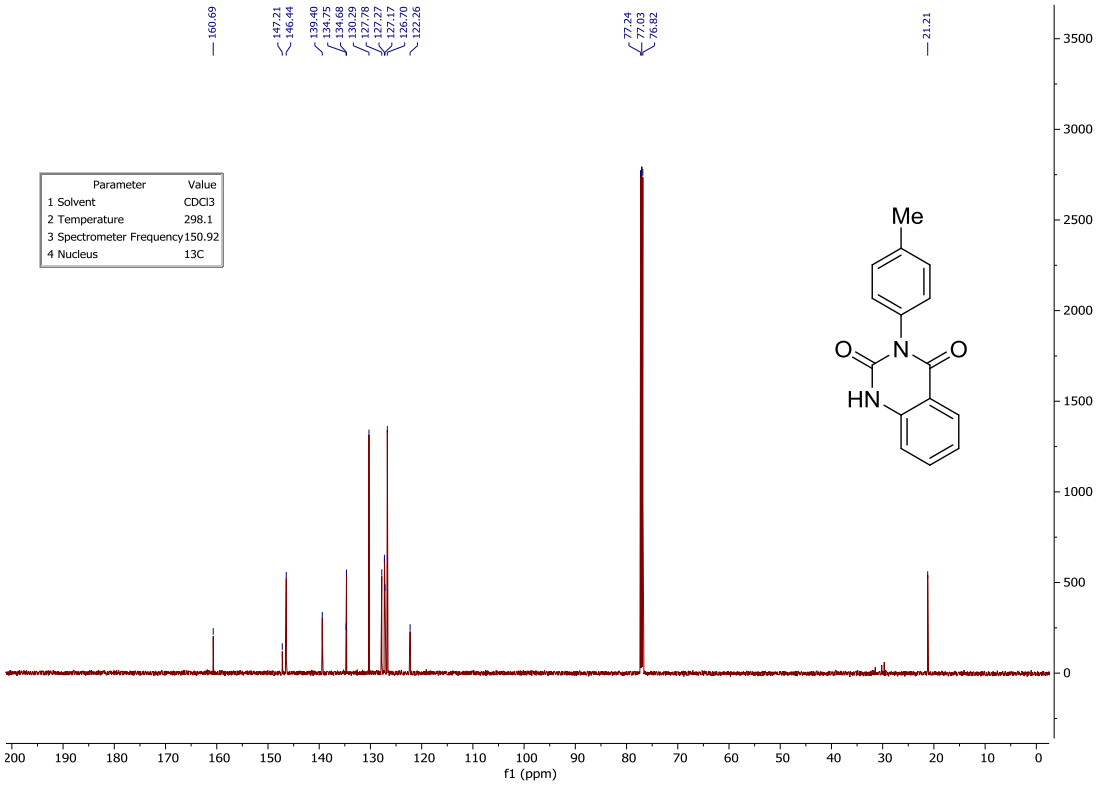

$^{13}$C NMR of **2r** (150 MHz, $CDCl_3$)



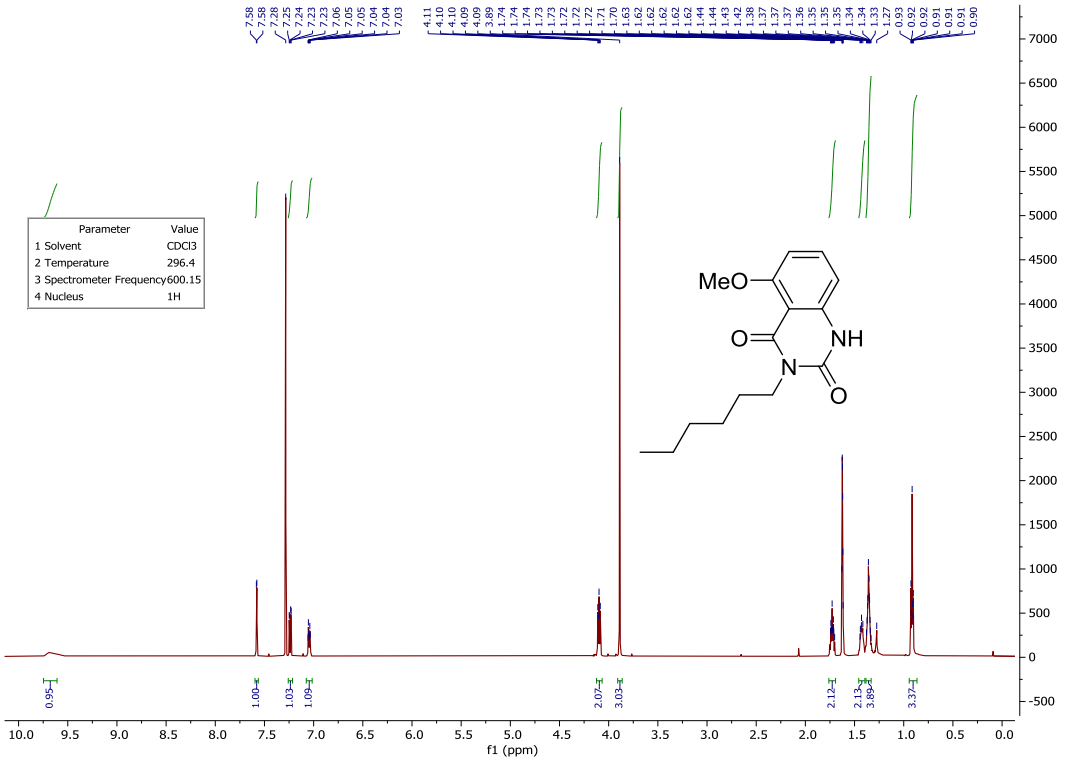
$^{1}$H NMR of **4a** (600 MHz, $CDCl_3$)



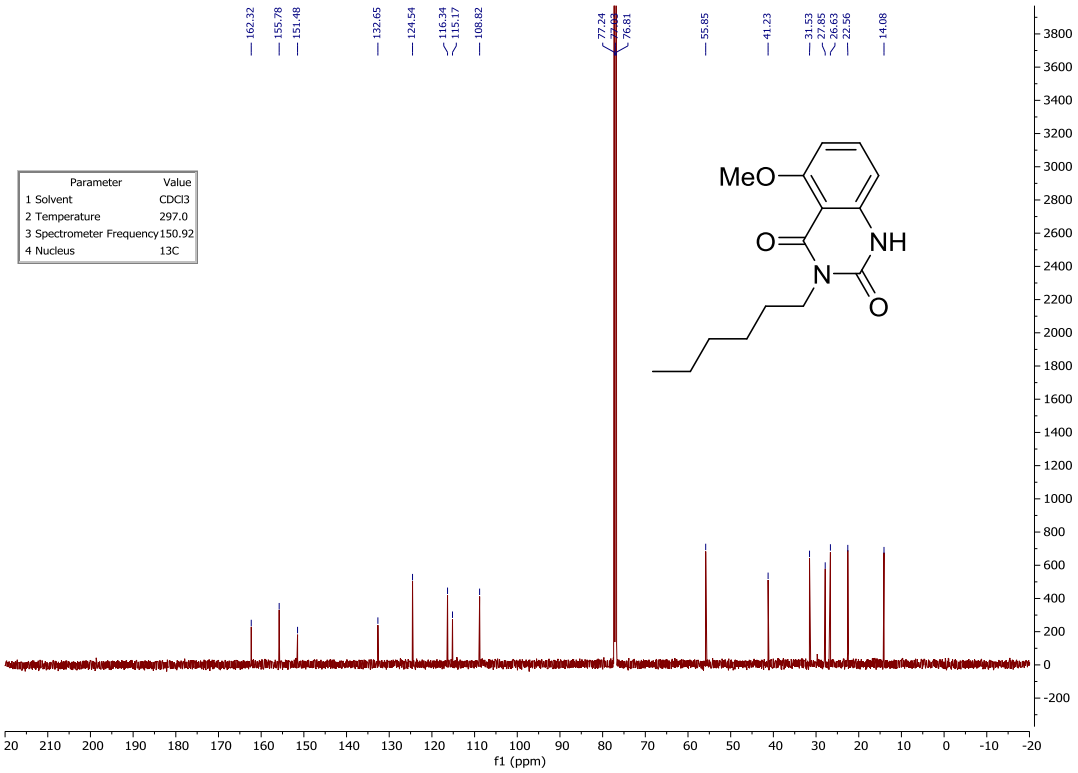

$^{13}$C NMR of **4a** (150 MHz, $CDCl_3$)



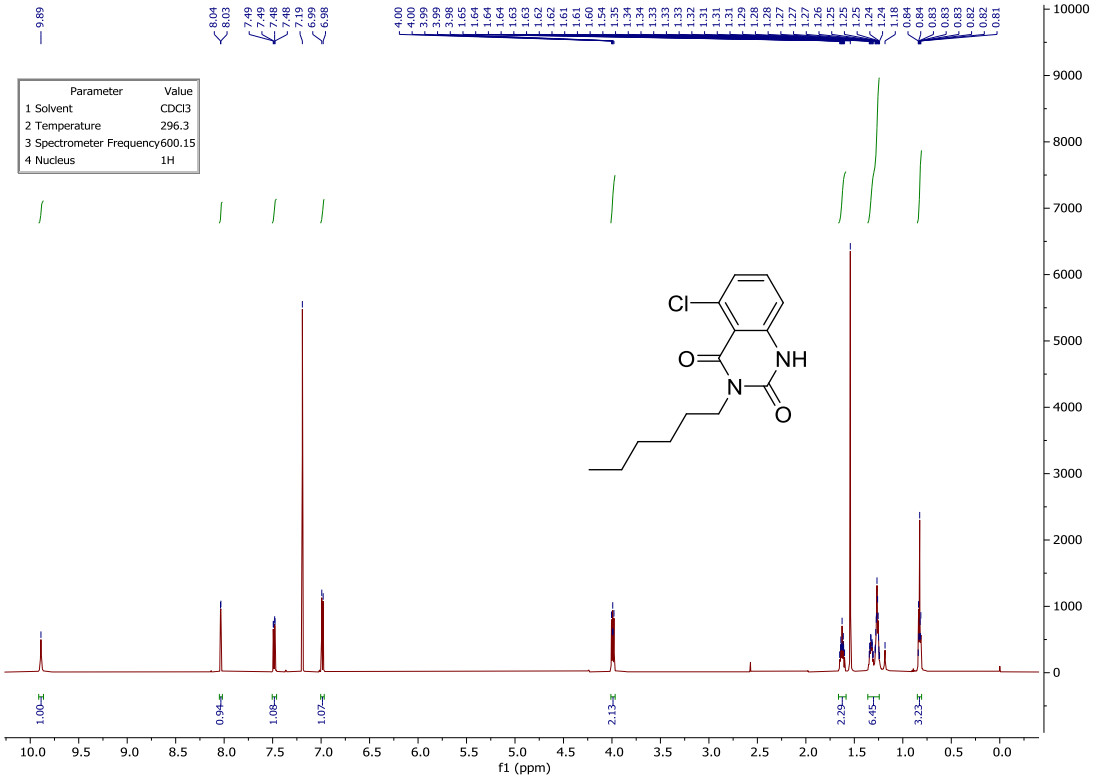
$^{1}$H NMR of **4b** (600 MHz, $CDCl_3$)



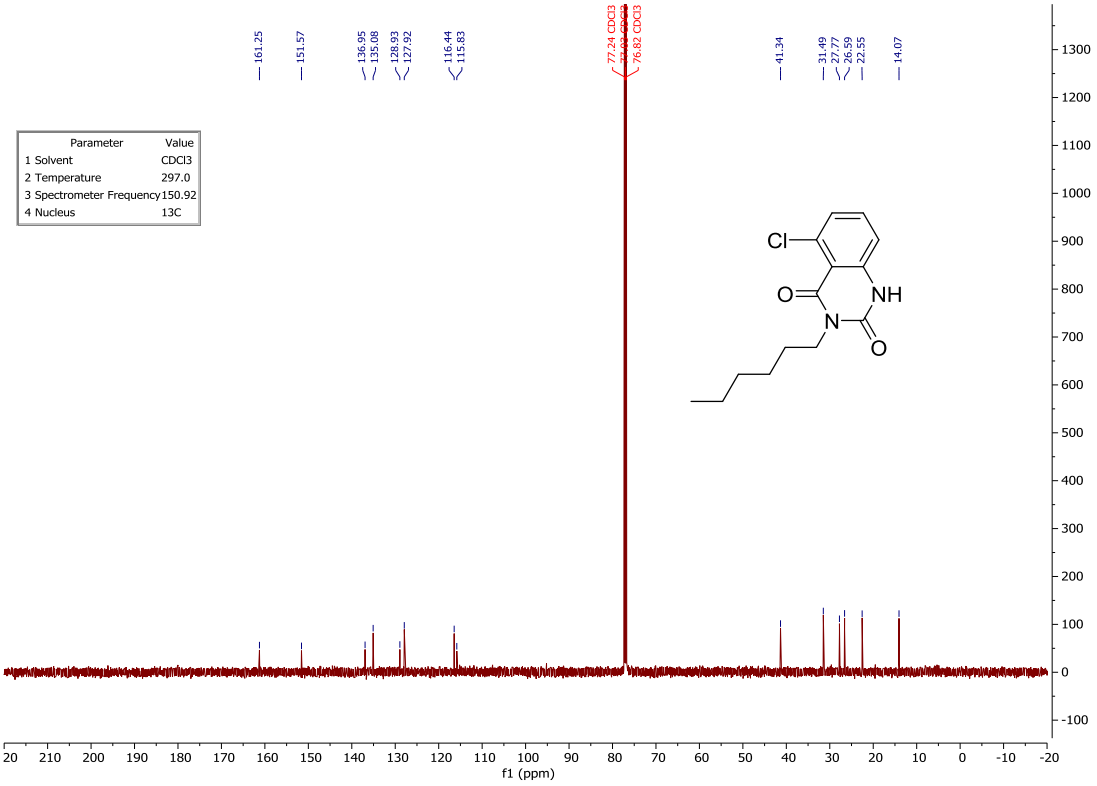

$^{13}$C NMR of **4b** (150 MHz, $CDCl_3$)



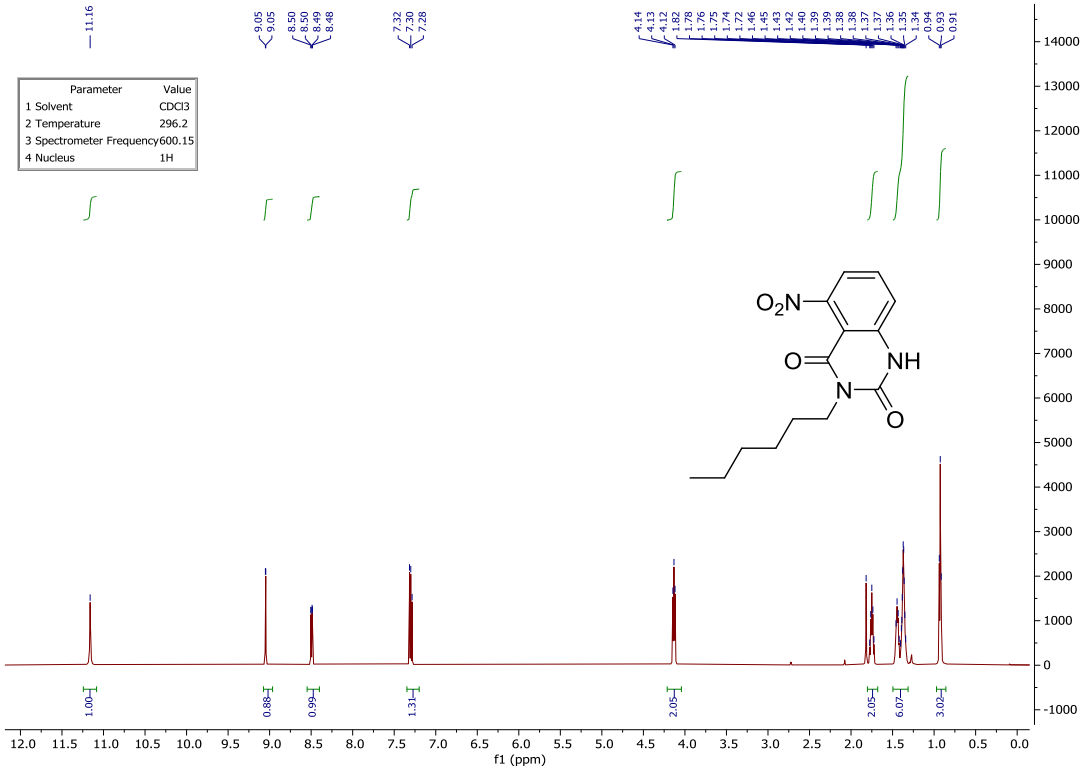
$^{1}$H NMR of **4c** (600 MHz, $CDCl_3$)



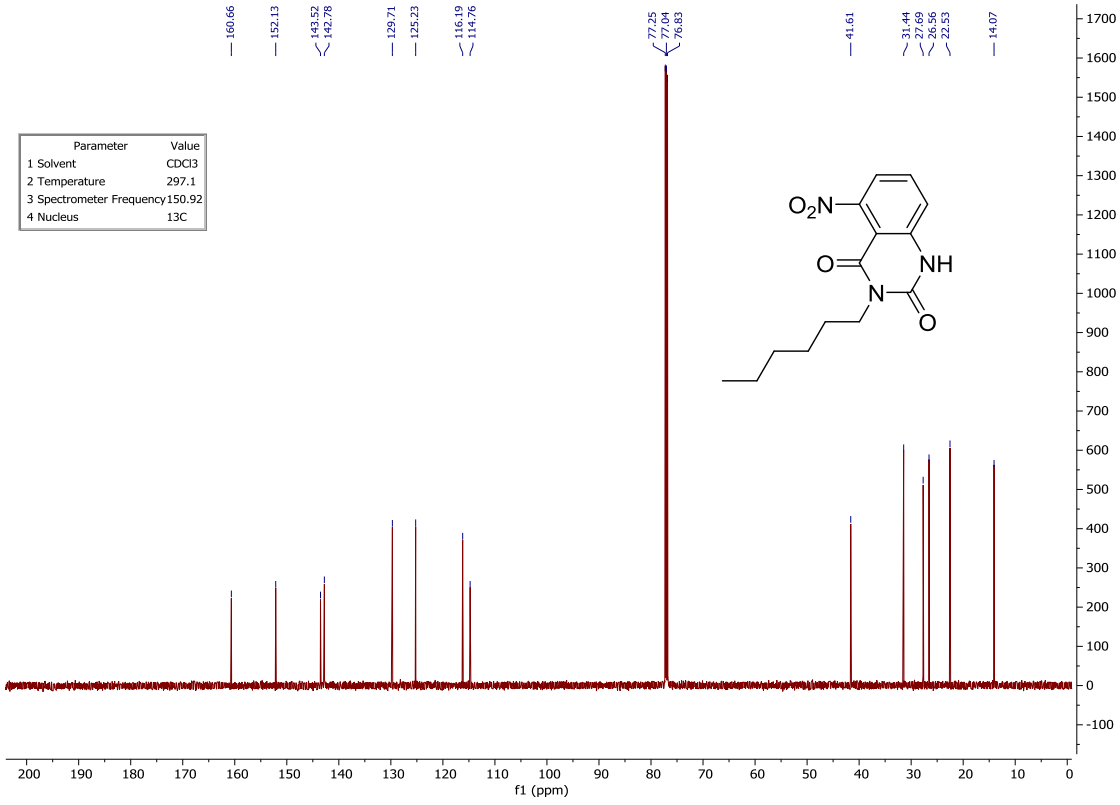

$^{13}$C NMR of **4c** (150 MHz, $CDCl_3$)



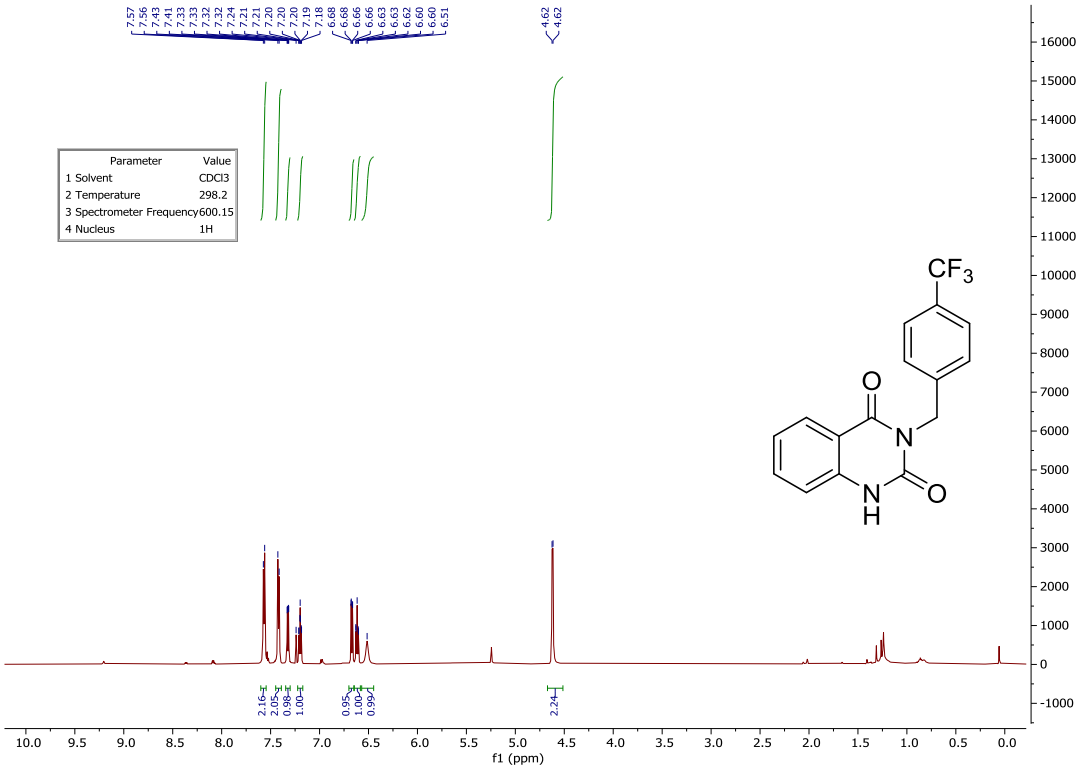
$^{1}$H NMR of **4d** (600 MHz, $CDCl_3$)



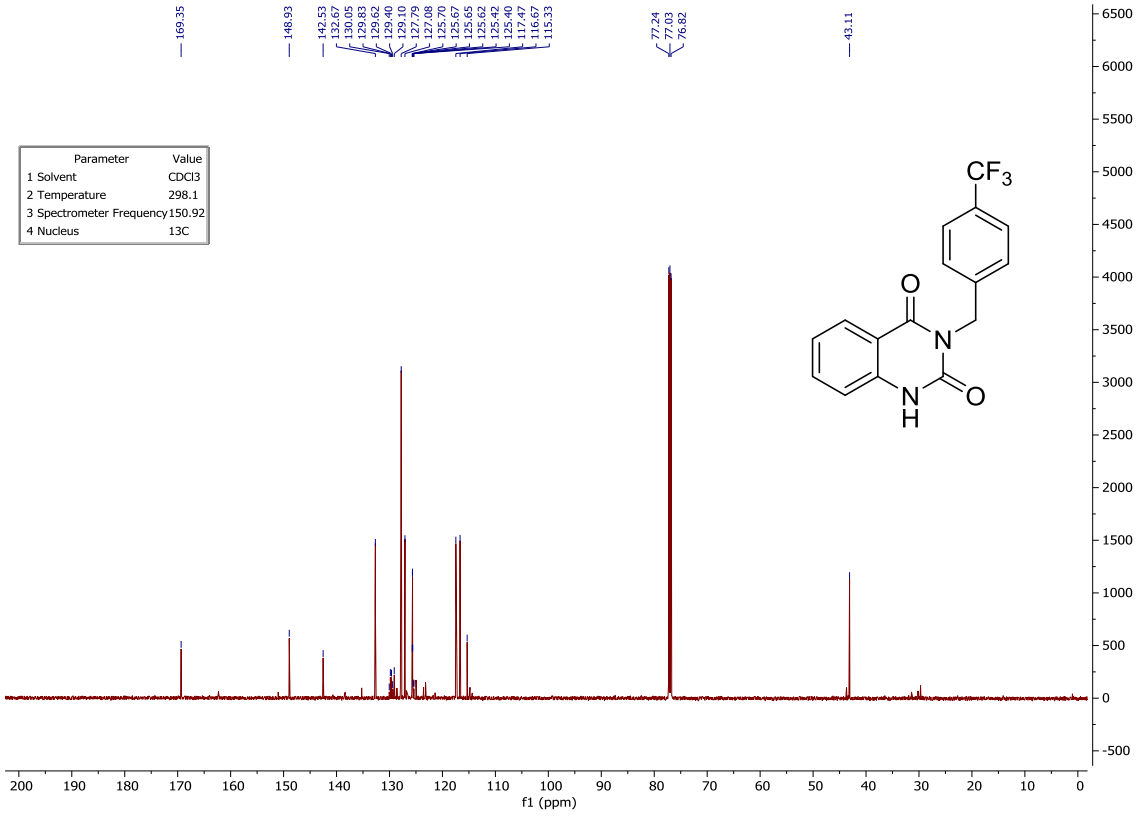

$^{13}$C NMR of **4d** (150 MHz, $CDCl_3$)



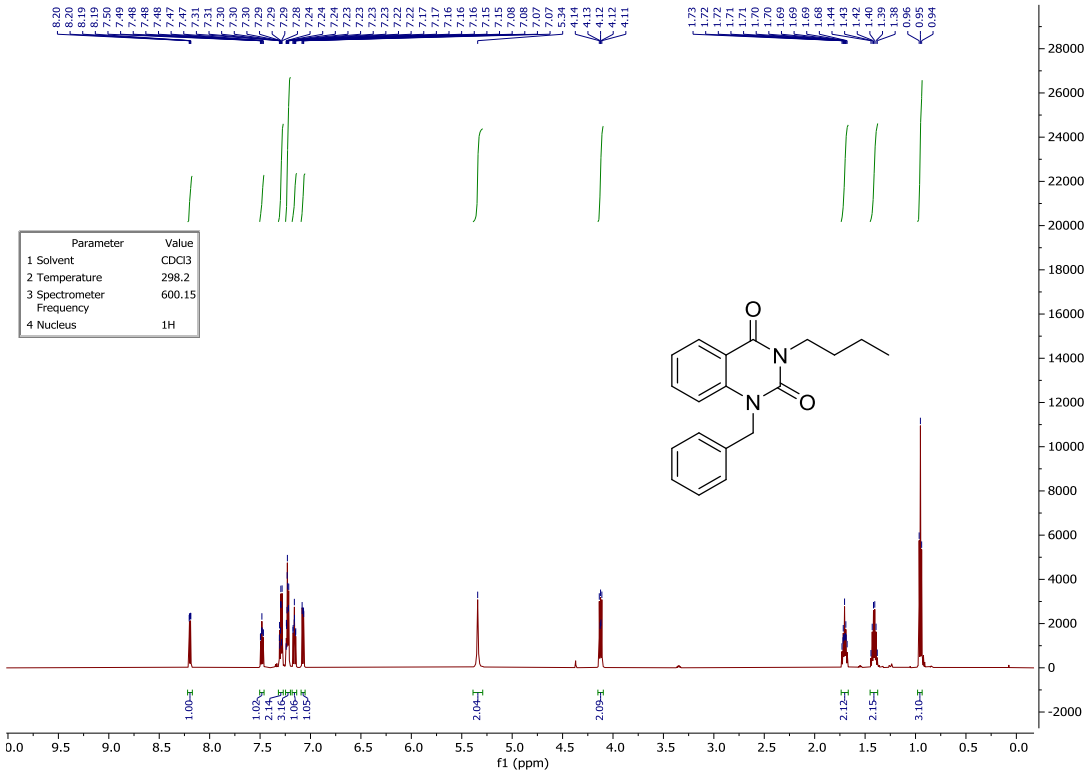
$^{1}$H NMR of **4e** (600 MHz, $CDCl_3$)



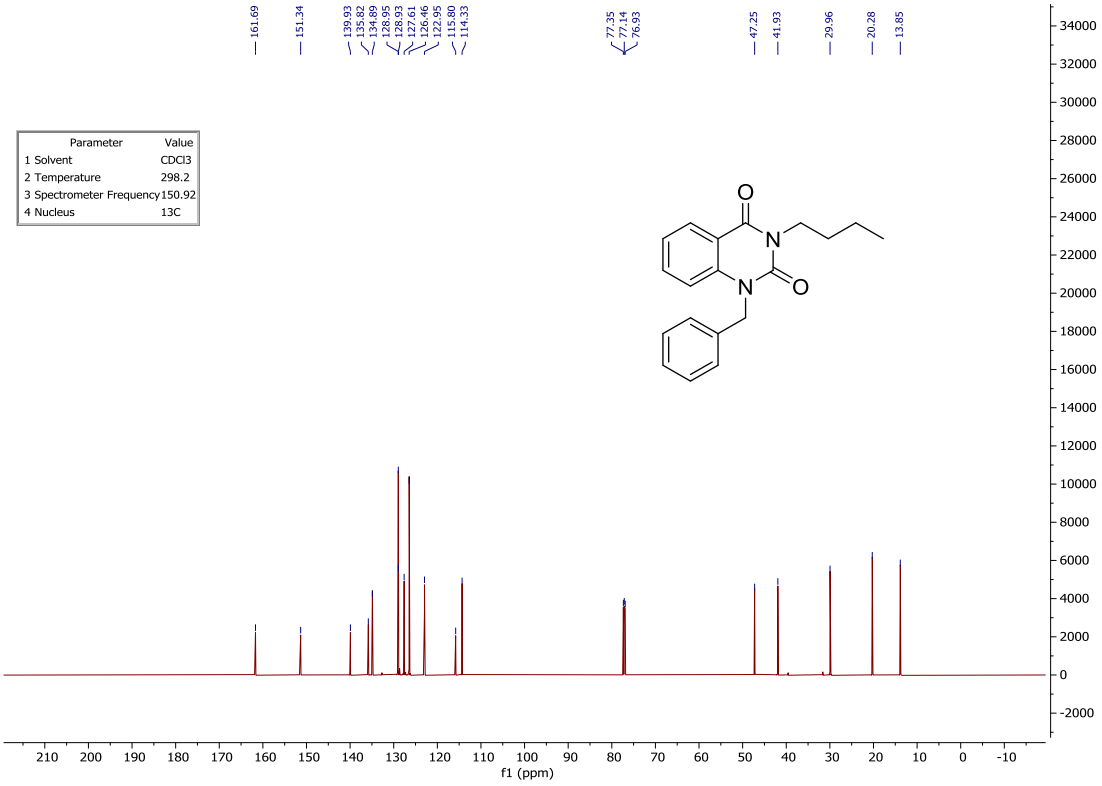

$^{13}$C NMR of **4e** (150 MHz, $CDCl_3$)



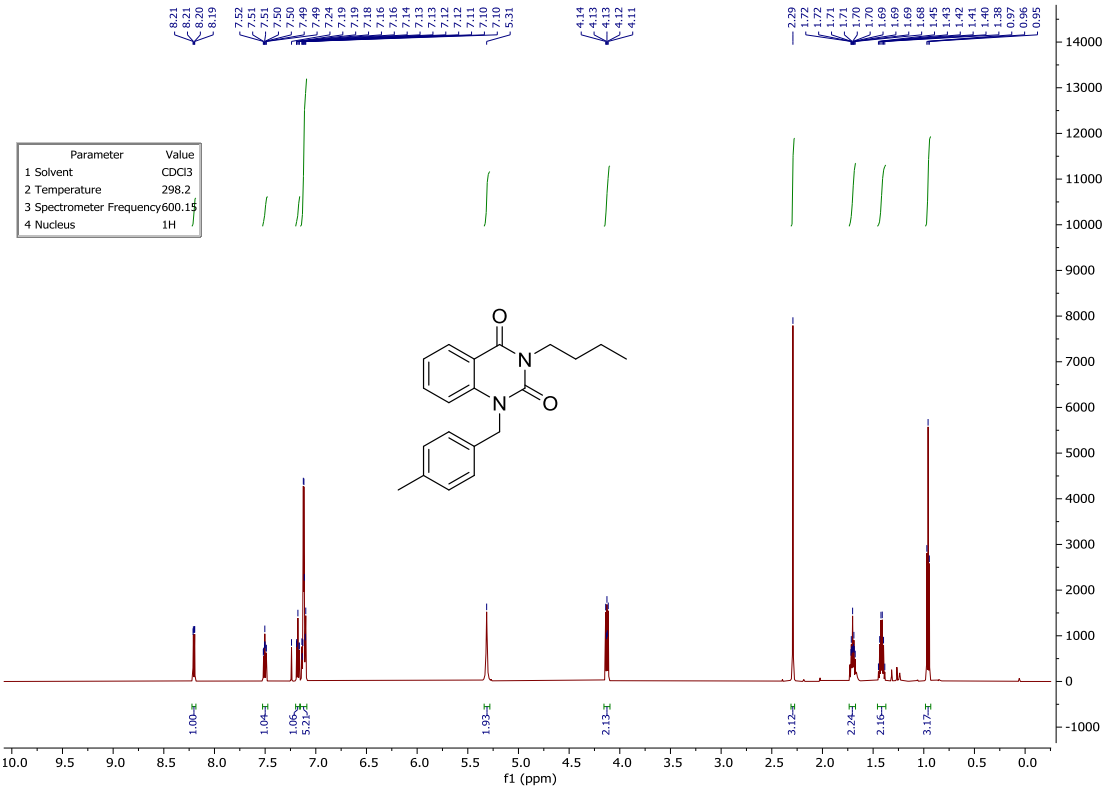
$^{1}$H NMR of **4f** (600 MHz, $CDCl_3$)



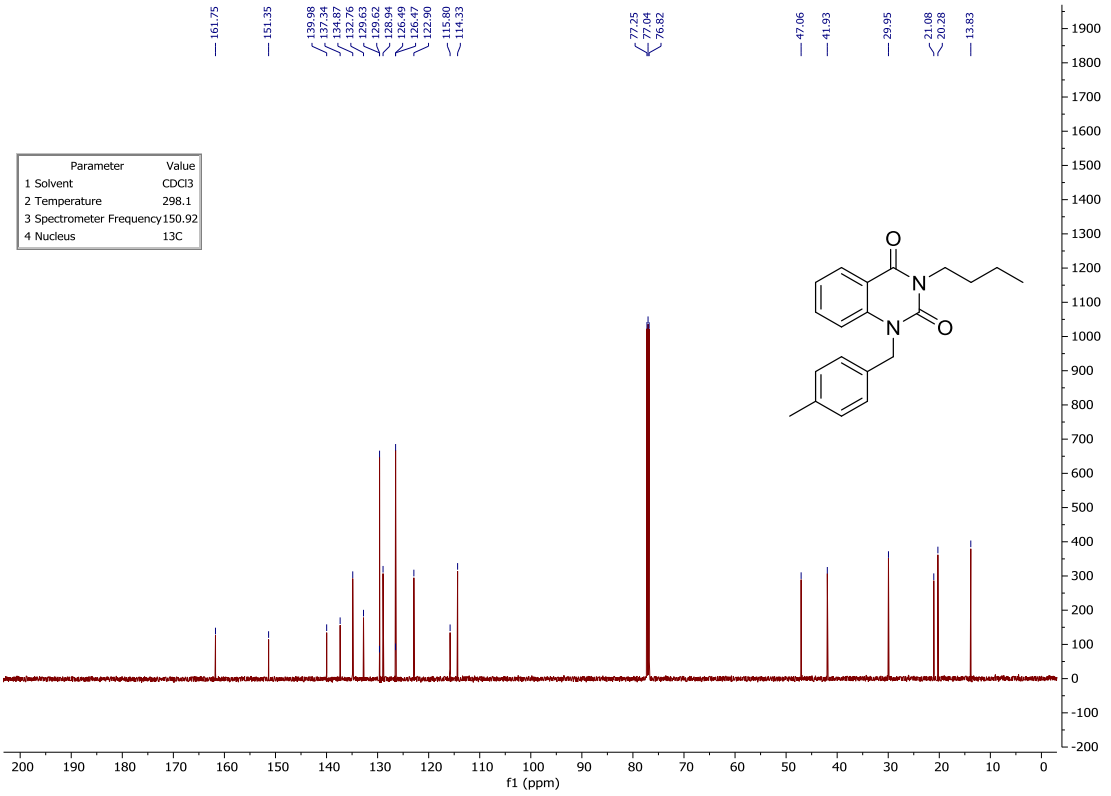

$^{13}$C NMR of **4f** (150 MHz, $CDCl_3$)



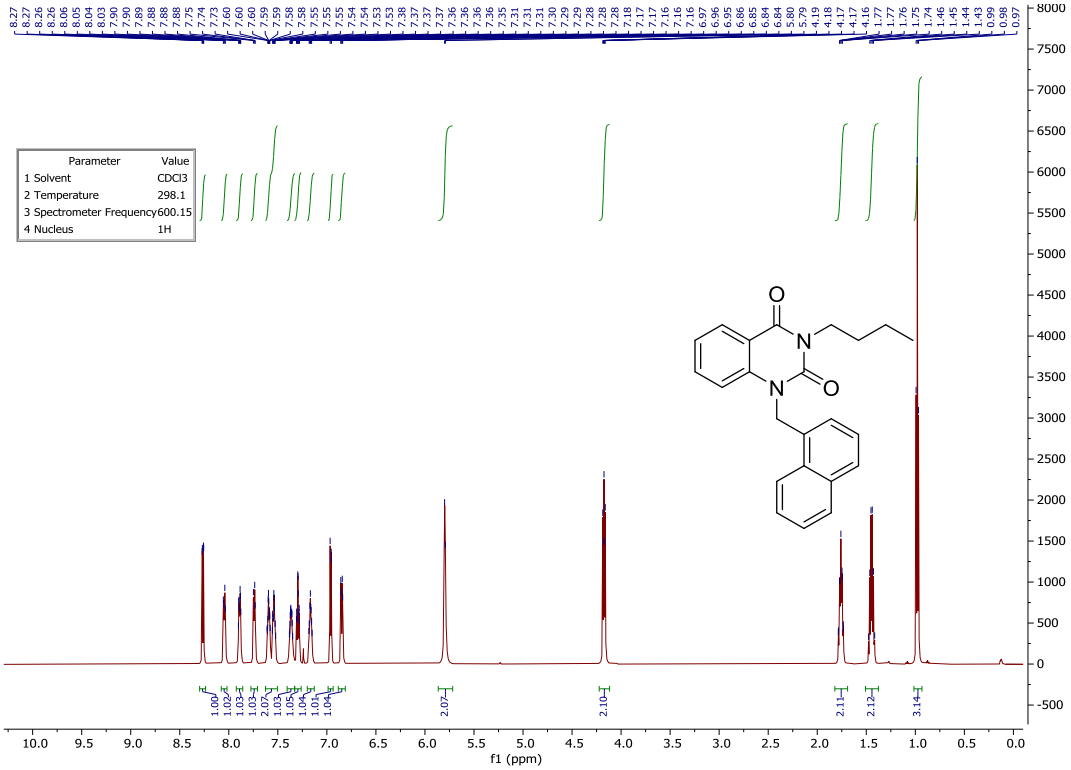
$^{1}$H NMR of **4g** (600 MHz, $CDCl_3$)



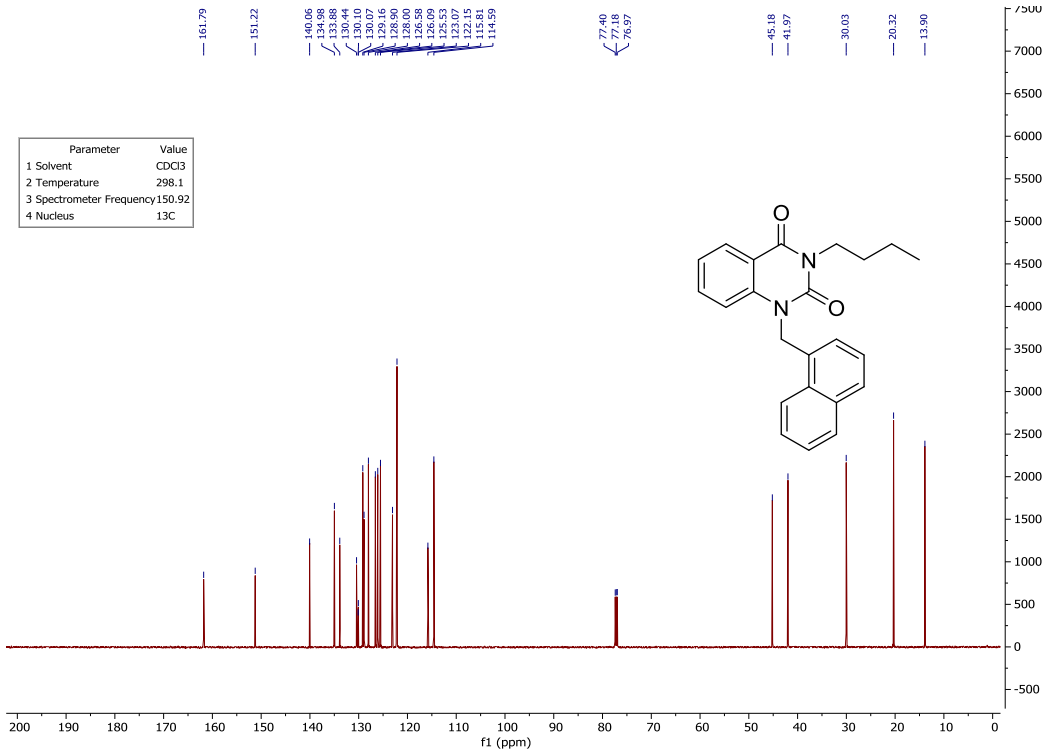

$^{13}$C NMR of **4g** (150 MHz, $CDCl_3$)



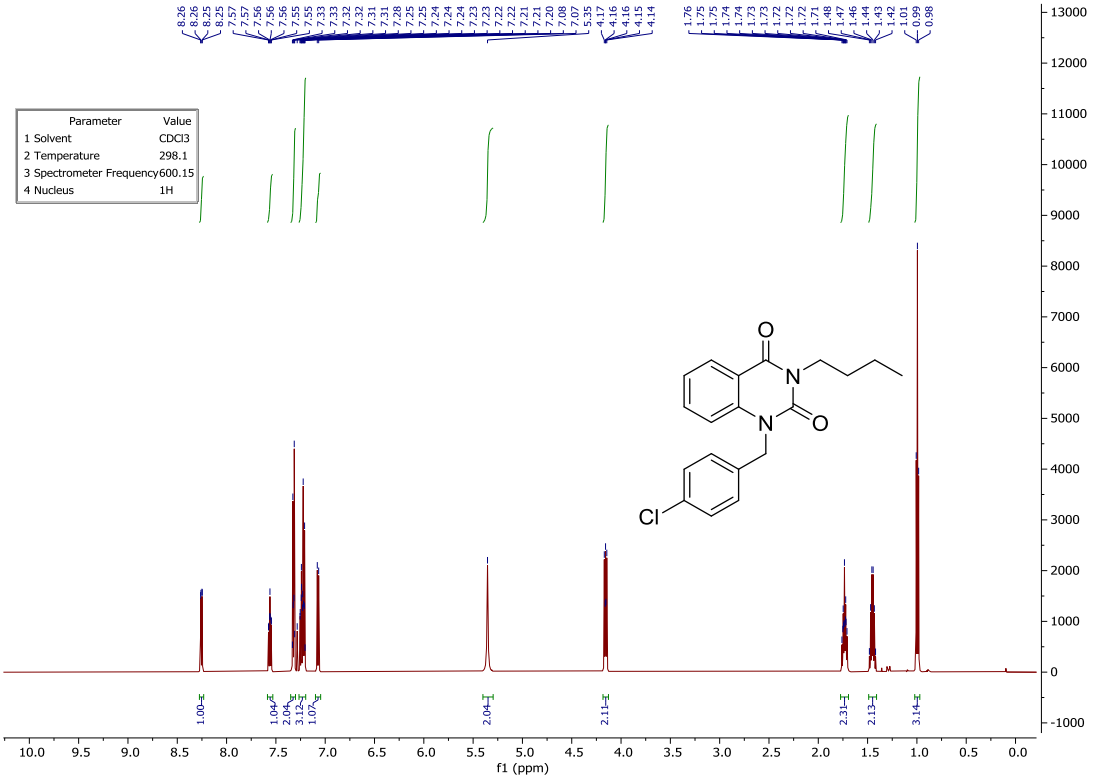
$^{1}$H NMR of **4h** (600 MHz, $CDCl_3$)



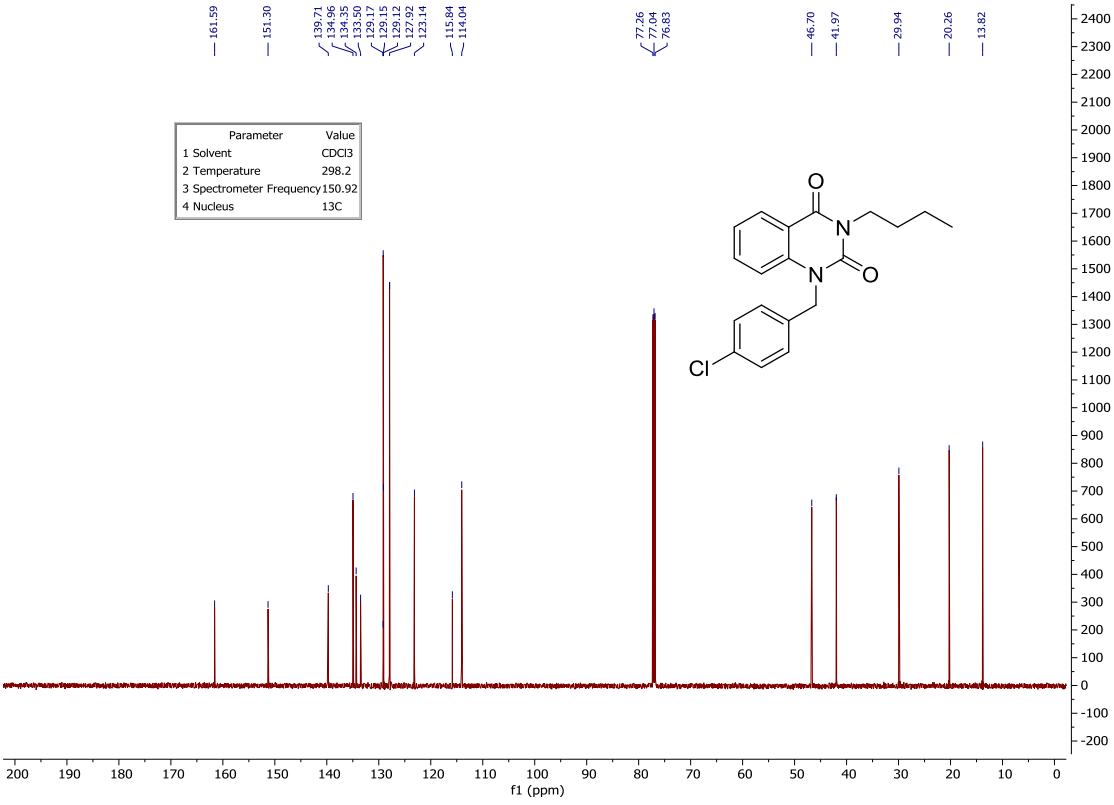

$^{13}$C NMR of 4**h** (150 MHz, $CDCl_3$)



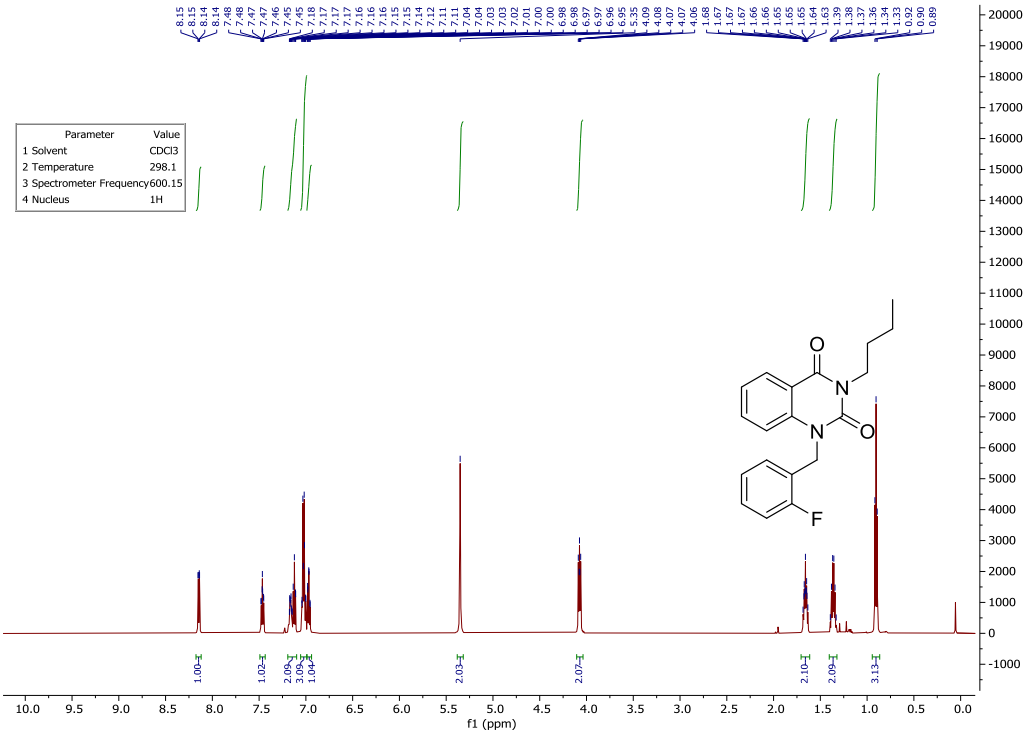
$^{1}$H NMR of **4i** (600 MHz, $CDCl_3$)



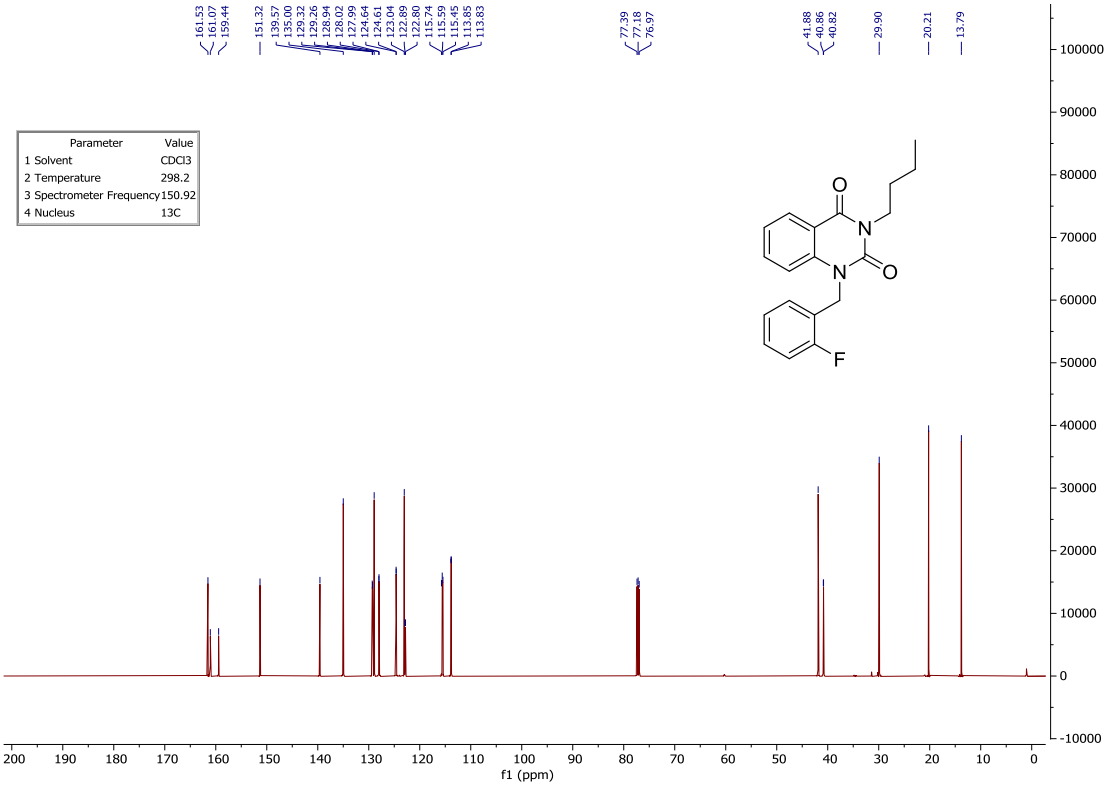

$^{13}$C NMR of **4i** (150 MHz, $CDCl_3$)



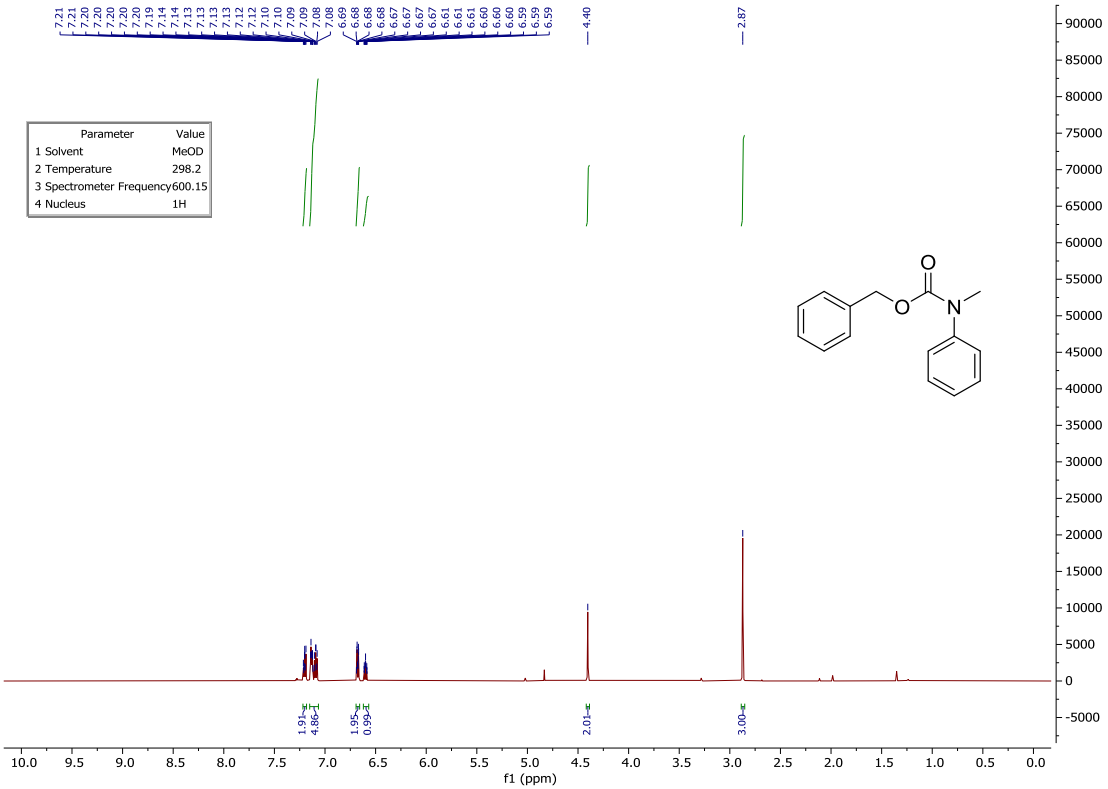
$^{1}$H NMR of **4j** (600 MHz, $CDCl_3$)



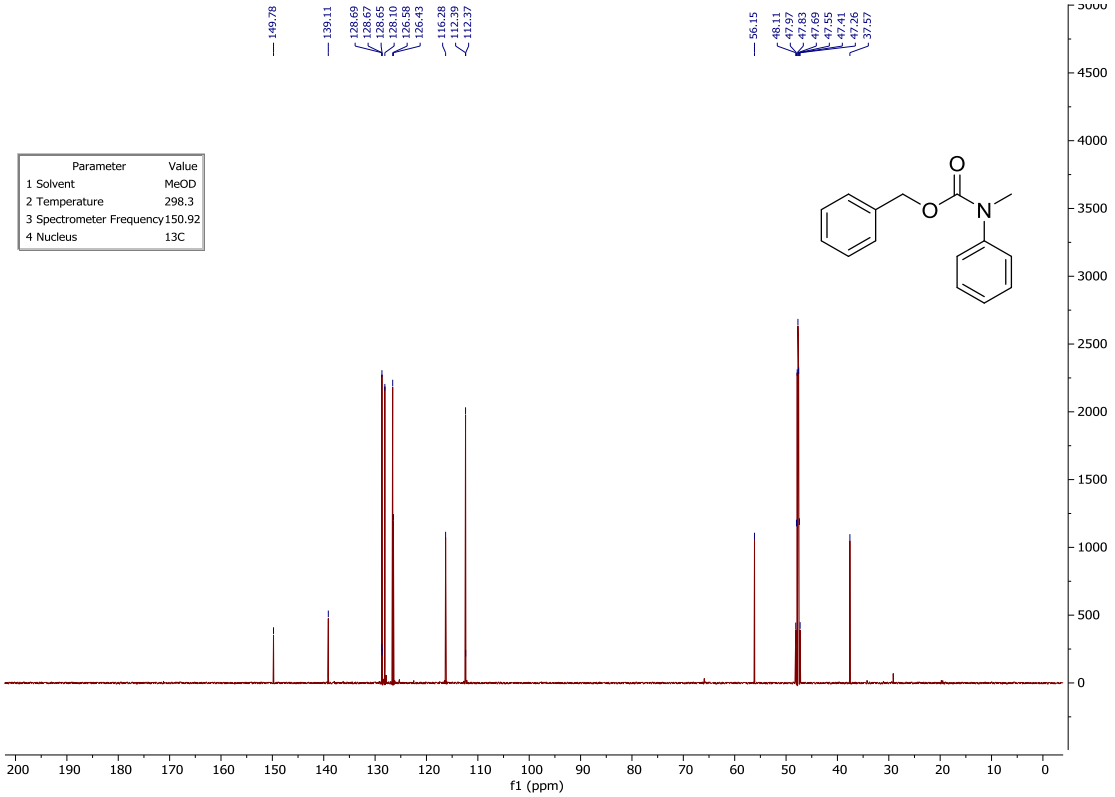

$^{13}$C NMR of **4j** (150 MHz, $CDCl_3$)



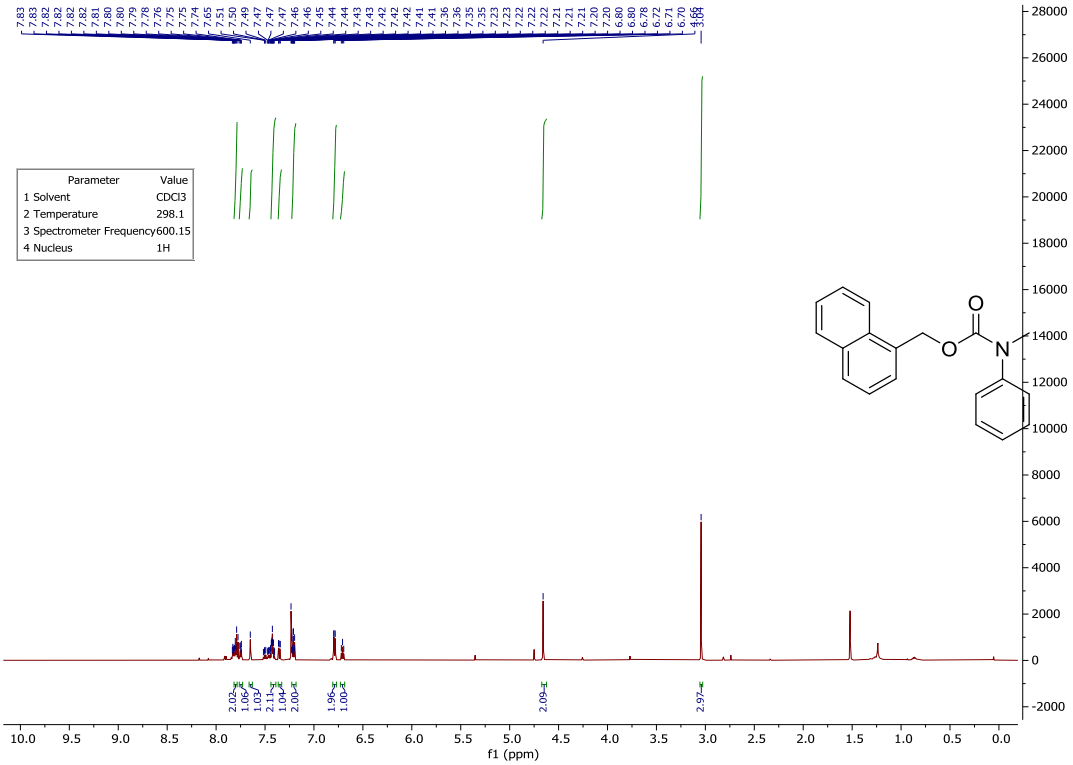
$^{1}$H NMR of **7a** (600 MHz, MeOD)



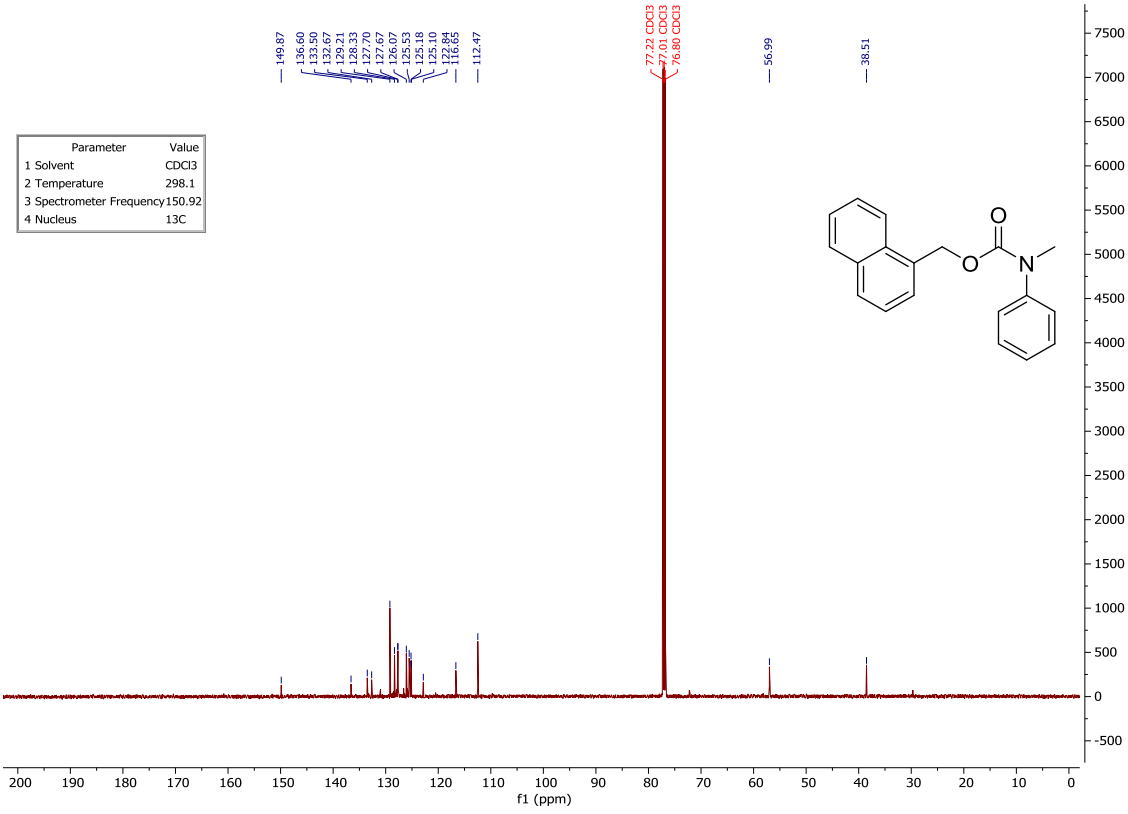

$^{13}$C NMR of **7a** (150 MHz, MeOD)



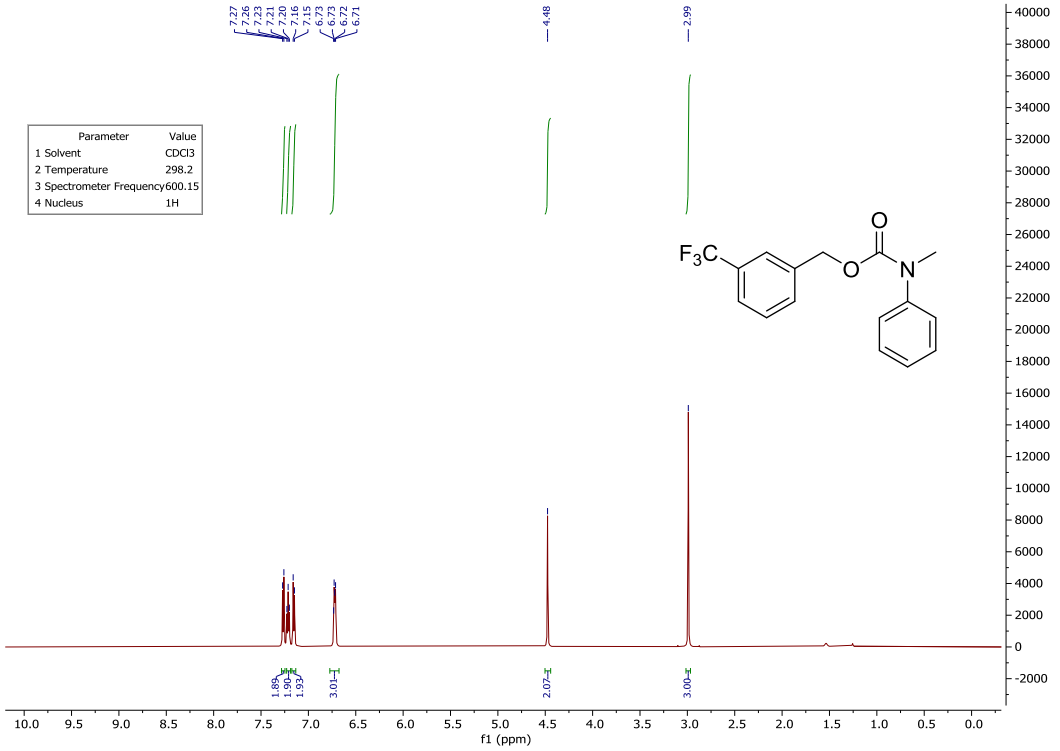
$^{1}$H NMR of **7b** (600 MHz, $CDCl_3$)



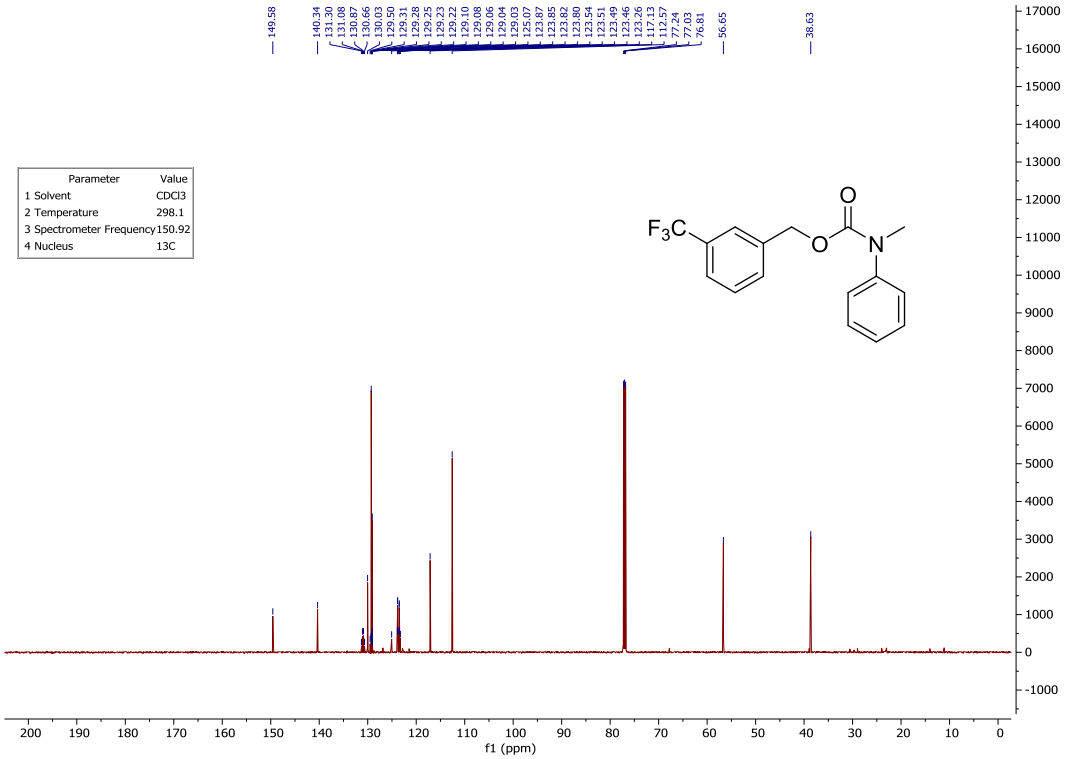

$^{13}$C NMR of **7b** (150 MHz, $CDCl_3$)



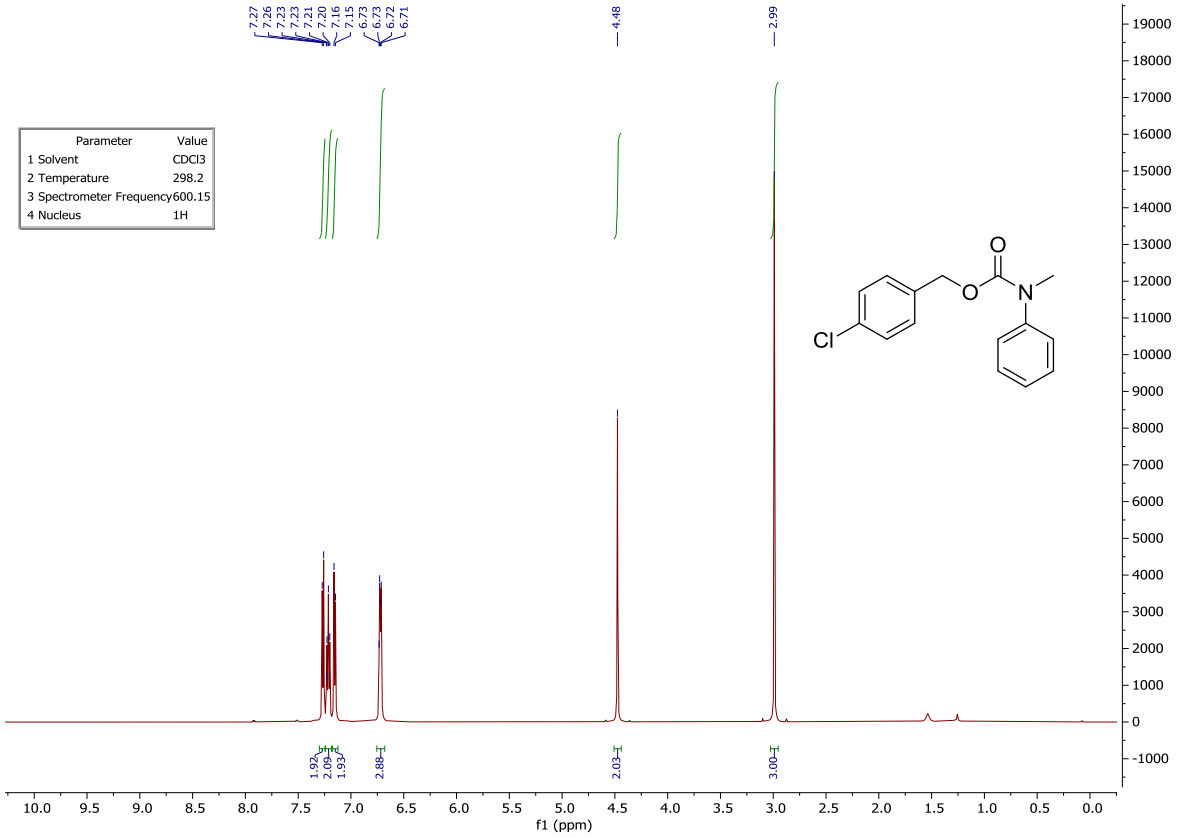
$^{1}$H NMR of **7c** (600 MHz, $CDCl_3$)



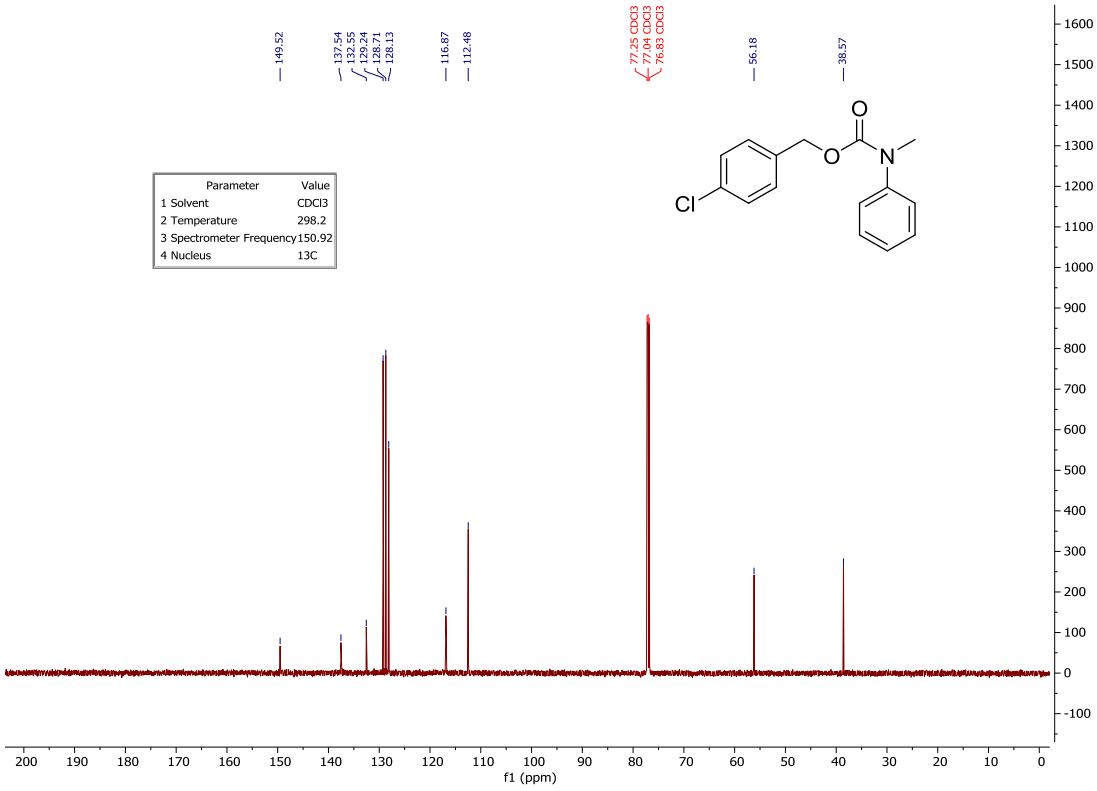

$^{13}$C NMR of **7c** (150 MHz, $CDCl_3$)



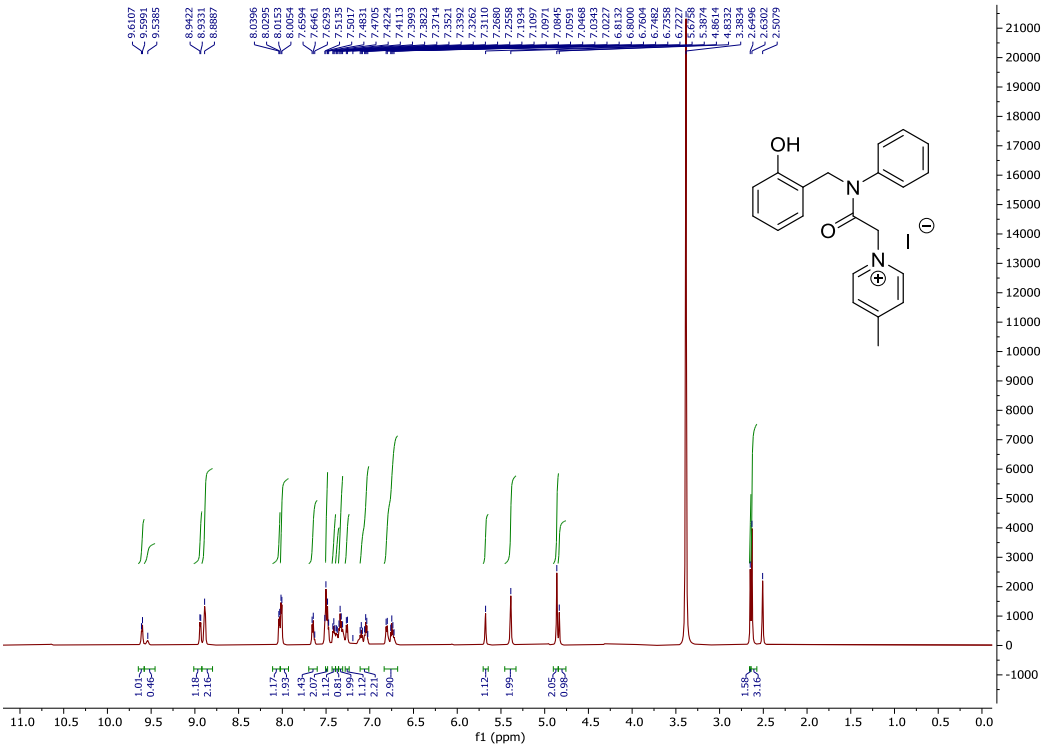
$^{1}$H NMR of **7d** (600 MHz, $CDCl_3$)




$^{13}$C NMR of **7d** (150 MHz, $CDCl_3$)



$^{1}$H NMR of 4-methylpyridinium salt of **1a** (600 MHz, $CDCl_3$)




$^{13}$C NMR of 4-methylpyridinium salt of **1a** (150 MHz, $CDCl_3$)