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Supporting Information for

Gold-catalyzed diastereoselective domino dearomatization/*ipso* –cyclization/*aza*-Michael sequence: A facile access to diverse fused azaspiro tetracyclic scaffolds

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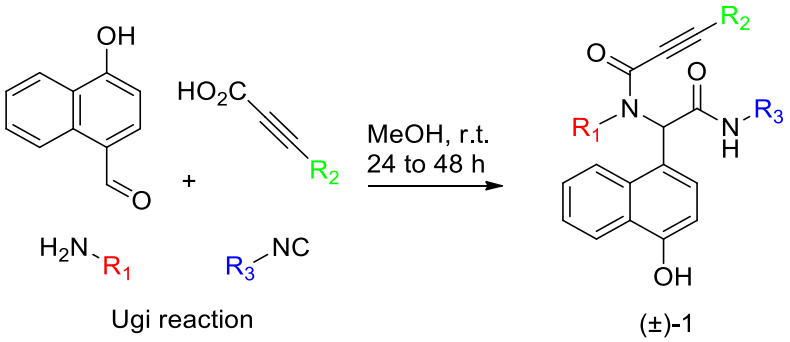
General Methods.

NMR spectra were recorded on a 300 MHz or 400 MHz instrument using $CDCl_3$ or DMSO-d_6 as solvent. The ^1H and ^{13}C chemical shifts are reported in parts per million relative to tetramethylsilane as an internal standard. High-resolution EI-mass spectra were performed with a resolution of 10,000. For chromatography, analytical TLC plates and 70-230 mesh silica gel were used. All the solvents and chemicals were purchased and used as available. Data for ^1H NMR are recorded as follows: chemical shift (δ, ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet or unresolved, brs = broad singlet, coupling constant (s) in Hz, integration). Data for ^{13}C NMR are reported in terms of chemical shift (δ, ppm).

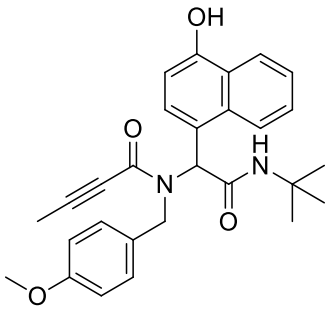
General procedure for synthesis of Ugi products 1a-u.



To a solution of 4-hydroxy-1-naphthaldehyde (77mg, 0.45 mmol) in methanol (2 mL) were added successively Na_2SO_4 (0.2 g), amine (0.49 mmol, 1.1 equiv), alkynoic acid (0.49 mmol, 1.1 equiv) and isonitrile (0.49 mmol, 1.1 equiv) in a screw capped vial equipped with a magnetic stir bar. The reaction mixture was stirred at 50 ℃ temperature for 24-48 h in closed vial. After completion of the reaction, the mixture was diluted with water (25 mL) and was extracted with EtOAc (50 mL). Organic layer was washed with brine (25 mL), dried over magnesium sulfate and evaporated under reduced pressure to obtain residue which was subjected to silica gel column chromatography (EtOAc/Heptane = 1: 2) to afford the desired products **1a-t** as solid. For compound **1u**, 4-hydroxybenzaldehyde was used and performed in standard procedure as **1a-t.**

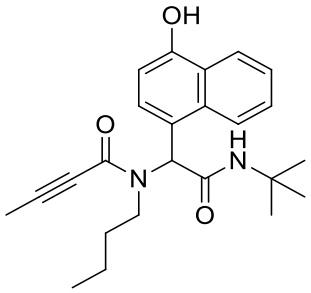
Ugi products appear as mixture of two rotamers, so ^1H and ^{13}C NMR spectra are not very characteristic.

N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamidepp **(1a)**



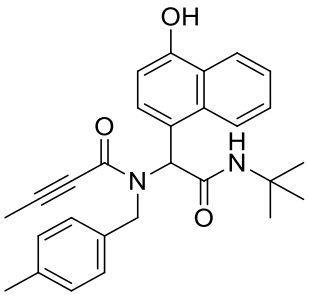
Yellow solid, Yield 80% (mixture of rotamers ~ 2: 3). Melting point 125-127 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.88 (d, J = 8.0 Hz, 0.60 H), 7.81 (d, J = 8.0 Hz, 0.40 H), 7.57-7.49 (m, 1H), 7.40-7.35 (m, 1 H), 7.29-7.26 (m, 0.66 H), 7.24- 7.23 (m, 0.40 H), 7.19 (d, J = 8.0 Hz, 1.20 H), 6.98 (d, J = 8.7 Hz, 0.83 H), 6.90 (d, J = 8.0 Hz, 0.44H) 6.69-6.55 (m, 3.27 H), 6.46 (d, J = 8.0 Hz, 0.44 H), 6.37 (d, J = 8.7 Hz, 1.21 H), 5.69 (s, 0.41 H), 5.55 (s, 0.61 H), 4.86-4.54(m, 2 H), 3.72 (s, 1.20 H), 3.62 (s, 1.81 H), 2.14 (s, 1.21 H), 1.98 (s, 1.82 H), 1.30 (s, 5.43 H), 1.12 (s, 3.63H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 167.0, 158.4, 156.2, 153.6, 133.4, 130.7, 128.6, 124.8, 122.9, 122.5, 121.6, 120.9, 119.5, 114.2, 113.3, 108.3, 107.8, 90.9, 65.6, 58.9, 55.2, 51.8, 44.4, 28.5. **HRMS** (ESI) calculated for $C_{28}H_{31}N_2O_4^+$ ($[M+H]^+$): 459.2278，found 459.2279.

N-butyl-*N*-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)but-2-ynamide (**1b**)



Yellow solid, Yield 68%, Melting point: 196-198 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 8.29 – 8.20 (m, 1H), 7.81 (d, J = 7.9 Hz, 1H), 7.62 – 7.41 (m, 3H), 6.78 (d, J = 7.9 Hz, 1H), 6.59 (s, 1H), 5.52 (s, 1H), 3.55 – 3.39 (m, 2H), 1.97 (s, 3H), 1.44 (d, J = 8.8 Hz, 2H), 1.36-1.31 (m, 6H), 1.29 – 1.19 (m, 5H), 0.88 (t, J = 6.6 Hz, 3H). **^{13}C NMR (101 MHz, DMSO-*d6*)** δ 169.1, 154.1, 154.0, 133.1, 127.4, 127.2, 126.7, 126.6, 124.6, 124.5, 123.0, 122.9, 122.6, 122.5, 122.3, 121.6, 107.1, 107.0, 88.4, 78.5, 73.9, 61.3, 55.9, 50.2, 39.7, 28.3, 19.1, 13.0. **HRMS** (ESI) calculated for $C_{24}H_{31}N_2O_3^+$ ($[M+H]^+$): 395.2329, found 395.2322.

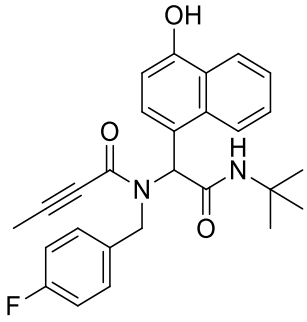
N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methylbenzyl)but-2-ynamide (**1c**)



Yellow solid, Yield 77% (mixture of rotamers ~ 2: 3), Melting point: 194-195 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.87 (d, J = 7.6 Hz, 0.63H), 7.79 (d, J = 7.9 Hz, 0.57H), 7.57 – 7.47 (m, 1.15H), 7.40 – 7.32 (m, 1.25H), 7.24 – 7.19 (m, 0.67H), 7.19 – 7.15 (m, 0.42H), 7.11 (d, J = 7.9 Hz, 0.60H). 6.97 (s, 1.75H), 6.86 (d, J = 7.9 Hz, 0.52H), 6.68 (q, J = 8.1

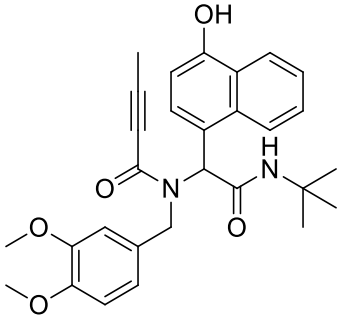
Hz, 2.40H), 6.58 (d, *J* = 3.3 Hz, 0.92H), 6.53 (d, *J* = 7.9 Hz, 0.70H), 6.44 (d, *J* = 7.9 Hz, 0.48H), 5.70 (s, 0.43H), 5.59 (s, 0.60H), 4.91 (d, *J* = 14.5 Hz, 0.64H), 4.69 (d, *J* = 16.0 Hz, 0.75H), 4.43 (d, *J* = 16.0 Hz, 0.67H), 2.25 (s, 1.41H), 2.13-2.12 (m, 2.93H), 1.96 (s, 1.75H), 1.28 (s, 5.74H), 1.19 (s, 3.33H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 171.6, 170.0, 156.6, 156.2, 153.9, 153.6, 137.7, 136.7, 135.3, 134.1, 133.3, 129.6, 129.3, 128.6, 128.4, 127.4, 127.0, 124.9, 124.7, 122.3, 120.7, 107.9, 90.8, 73.9, 59.0, 51.9, 28.4, 28.0, 21.0, 20.8, 4.2, 4.1. **HRMS** (ESI) calculated for $C_{28}H_{31}N_2O_3^+$ ($[M+H]^+$): 443.2329, found 443.2328.

N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-fluorobenzyl)but-2-ynamide (**1d**)



Yellow solid, Yield 92%(mixture of rotamers ~ 5: 4), Melting point: 136-137 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.97 (d, *J* = 8.7 Hz, 0.69H), 7.93 – 7.87 (m, 0.42H), 7.62 (d, *J* = 8.4 Hz, 0.66H), 7.54 (d, *J* = 8.5 Hz, 0.43H), 7.44 – 7.28 (m, 2.63H), 7.02 (d, *J* = 7.8 Hz, 0.53H), 6.91 – 6.82 (m, 0.91H), 6.75 – 6.62 (m, 2.22H), 6.60 – 6.52 (m, 2.00H), 6.39 (t, *J* = 8.7 Hz, 1.51H), 5.59 (s, 0.35H), 5.52 (s, 0.70H), 4.94 (d, *J* = 16.4 Hz, 0.77H), 4.70 – 4.55 (m, 1.23H), 2.13 (s, 1.01H), 1.94 (s, 1.96H), 1.35 (s, 5.10H), 1.27 (s, 3.96H). **^{13}C NMR (101 MHz, DMSO-*d6*)** δ 169.5, 169.2, 161.2, 158.8, 155.0, 154.7, 153.9, 153.7, 133.8, 133.7, 133.3, 133.2, 133.1, 133.0, 128.6, 128.5, 127.8, 127.7, 127.5, 127.4, 126.2, 126.1, 124.4, 124.3, 124.1, 124.0, 122.9, 122.8, 122.1, 122.0, 121.9, 121.4, 113.3, 113.2, 113.1, 113.0, 106.8, 106.7, 90.8, 89.7, 73.9, 73.0, 61.1, 55.9, 50.3, 50.2, 49.5, 46.2, 39.9, 38.8, 34.7, 32.0, 31.1, 28.2, 25.7, 25.6, 22.7, 22.0, 13.8, 3.5, 3.1. **HRMS** (ESI) calculated for $C_{27}H_{28}FN_2O_3^+$ ($[M+H]^+$): 447.2078, found 447.2075.

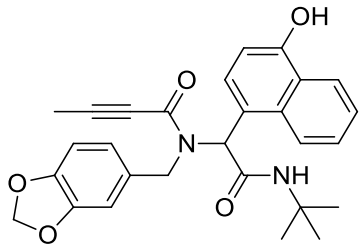
N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(3,4-dimethoxybenzyl)but-2-ynamide (**1e**)



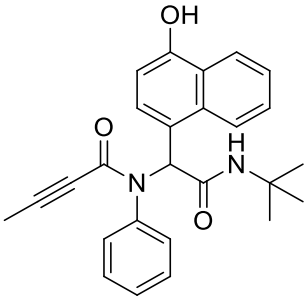
Brown solid, Yield 72% (mixture of rotamers ~ 5: 4), Melting point: 127-129 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.94 (d, *J* = 8.4 Hz, 0.60H), 7.81 (s, 0.87H), 7.63 (d, *J* = 8.4 Hz, 0.58H), 7.52 (d, *J* = 8.8 Hz, 0.40H), 7.37 (d, *J* = 8.4 Hz, 1.20H), 7.28 (s, 0.52H), 7.21 (d, *J* = 7.9 Hz, 0.98H), 6.91 (d, *J* = 7.9 Hz, 0.47H), 6.68 – 6.57 (m, 1.75H),

6.61 – 6.48 (m, 1.19H), 6.50 – 6.29 (m, 1.94H), 6.10 (d, *J* = 1.9 Hz, 0.78H), 5.73 (s, 0.52H), 5.59 (s, 0.71H), 4.85 (d, *J* = 14.5 Hz, 0.54H), 4.74 – 4.54 (m, 1.51H), 3.79 (s, 1.29H), 3.69 (s, 3.02H), 3.43 (s, 1.75H), 2.14 (s, 1.20H), 1.95 (s, 1.80H), 1.31 (s, 5.26H), 1.22 (s, 3.74H)**. ^{13}C NMR (101 MHz, CDCl$_3$)** δ 171.4, 169.8, 156.5, 156.1, 153.9, 153.4, 149.0, 148.6, 148.1, 147.6, 133.4, 133.3, 130.7, 130.0, 128.4, 128.3, 127.3, 127.2, 125.4, 125.0, 124.8, 122.84, 122.6, 122.4, 121.6, 121.5, 119.7, 119.5, 112.2, 111.5, 110.5, 110.1, 108.1, 107.8, 93.3, 90.7, 77.3, 65.4, 58.4, 55.9, 55.8, 55.6, 55.2, 51.9, 51.7, 50.3, 44.8, 29.7, 28.5, 28.2, 22.4, 14.0, 4.2, 4.1. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_5^+$ ([M+H]$^+$): 489.2383, found 489.2380.

N-(benzo[*d*][1,3]dioxol-5-ylmethyl)-*N*-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)but-2-ynamide (**1f**)



Yellow solid, Yield 85%(mixture of rotamers ~ 2: 3), Melting point: 121-123 ^{o}C.**^{1}H NMR (300 MHz, CDCl$_3$)** δ 7.94 (d, *J* = 8.7 Hz, 0.76H), 7.85 (d, *J* = 8.5 Hz, 0.54H), 7.55 (d, *J* = 8.5 Hz, 0.77H), 7.51 (d, *J* = 8.5 Hz, 1.02H), 7.42 – 7.34 (m, 1.30H), 7.31 – 7.27 (m, 0.67H), 7.26 – 7.22 (m, 1.31H), 6.94 (d, *J* = 7.8 Hz, 0.57H), 6.66 – 6.58 (m, 1.77H), 6.57 – 6.49 (m, 1.31H), 6.33 (dd, *J* = 7.9, 1.7 Hz, 0.41H), 6.24 – 6.17 (m, 1.18H), 6.01 (dd, *J* = 8.0, 1.7 Hz, 0.70H), 5.85 – 5.82 (m, 0.66H), 5.79 – 5.70 (m, 1.02H), 5.69 – 5.57 (m, 1.50H), 4.73 (d, *J* = 16.0 Hz, 1.31H), 4.53 (d, *J* = 16.0 Hz, 0.75H), 2.14 (s, 1.10H), 1.98 (s, 1.92H), 1.34 (s, 5.66H), 1.28 (s, 3.41H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 171.2, 169.7, 156.5, 156.1, 153.9, 153.6, 147.7, 147.0, 146.6, 145.9, 133.4, 133.3, 131.8, 130.8, 128.5, 128.3, 127.3, 127.0, 125.4, 124.9, 124.8, 124.6, 122.8, 122.6, 122.5, 122.3, 121.6, 121.3, 120.8, 119.6, 109.5, 108.2, 108.1, 107.7, 107.5, 107.1, 100.9, 100.6, 93.2, 90.9, 73.8, 73.4, 65.5, 58.2, 51.9, 51.8, 50.5, 44.9, 35.3, 28.5, 28.2, 26.4, 4.2, 4.1. **HRMS** (ESI) calculated for $C_{28}H_{29}N_2O_5^+$ ([M+H]$^+$): 473.2071, found 473.2081.

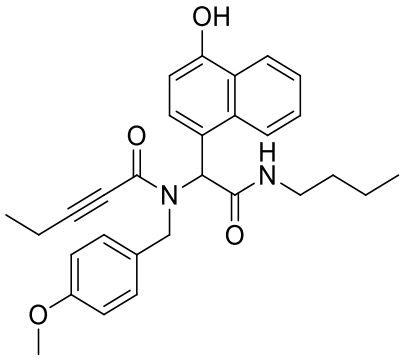


N-(2- (*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-phenylbut-2-ynamide (**1g**)

White solid, Yield 45 %, Melting point: 103-105 ^{o}C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 8.70 (s, 0.26H), 8.66 (s, 0.39H) 8.39 (d, *J* = 1.8 Hz, 0.45H), 8.24 – 8.16 (m, 0.46H), 7.94 (s, 0.58H), 7.67 – 7.46 (m, 3.0H), 7.41 – 7.31 (m,

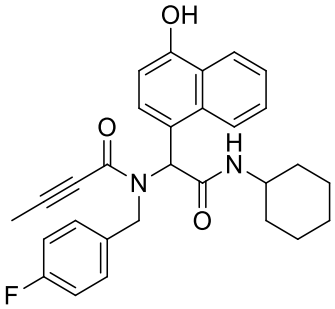
2.34H), 7.23 – 7.13 (m, 1.96H), 7.11 – 6.96 (m, 2.36H), 6.79 (s, 0.45H), 6.51 (d, *J* = 7.9 Hz, 0.80H), 5.50 (s, 1.0H), 1.62 (s, 3H), 1.36 (s, 9H). **^{13}C NMR (101 MHz, DMSO-*d6*)** δ 166.1, 150.4, 150.0, 135.8, 129.7, 125.2, 124.2, 124.1, 123.5, 121.3, 121.2, 120.0, 119.3, 118.3, 103.8, 87.1, 71.5, 56.4, 47.2, 36.8, 25.3, 25.2. **HRMS** (ESI) calculated for $C_{26}H_{27}N_2O_3^+$ ([M+H]$^+$): 415.2016, found 415.2014.

N-(2-(butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methoxybenzyl)pent-2-ynamide (**1h**)



Yellow solid, Yield 82%, Melting point: 98-99 ^{o}C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 8.00 – 7.88 (m, 1H), 7.60 – 7.50 (m, 1H), 7.45 – 7.33 (m, 1.23H), 7.35 – 7.25 (m, 0.66H), 7.06 (d, *J* = 7.9 Hz, 0.68H), 6.95 (d, *J* = 8.7 Hz, 0.92H), 6.82 (d, *J* = 7.9 Hz, 0.43H), 6.78 – 6.69 (m, 1.85H), 6.66 (d, *J* = 9.1 Hz, 1.55H), 6.59 – 6.41 (m, 2.55H), 5.87 – 5.73 (m, 1H), 4.89 (d, *J* = 14.5 Hz, 0.49H), 4.72 (d, *J* = 16.0 Hz, 0.75H), 4.38 (d, *J* = 16.0 Hz, 0.77H), 3.71 (s, 1.16H), 3.64 (s, 1.91H), 3.29 – 3.12 (m, 2H), 2.49 (q, *J* = 7.5 Hz, 0.85H), 2.35 (q, *J* = 7.5 Hz, 1.19H), 1.28 (t, *J* = 7.7 Hz, 2H), 1.14 (t, *J* = 7.5 Hz, 2H), 0.94 – 0.83 (m, 6H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 172.0, 170.6, 159.1, 158.6, 156.5, 156.2, 154.0, 153.7, 133.3, 133.2, 130.4, 130.1, 129.1, 128.7, 128.6, 128.5, 127.3, 127.2, 125.4, 125.0, 124.9, 124.8, 122.9, 122.6, 122.3, 121.6, 120.3, 119.3, 114.1, 113.3, 108.2, 107.9, 96.1, 77.2, 73.9, 73.1, 65.3, 58.9, 55.2, 49.9, 39.6, 39.6, 31.8, 31.2, 30.9, 22.7, 19.9, 19.8, 14.1, 13.7, 13.6, 12.9, 12.8, 12.7, 12.6. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_4^+$ ([M+H]$^+$): 473.2434, found 473.2437.

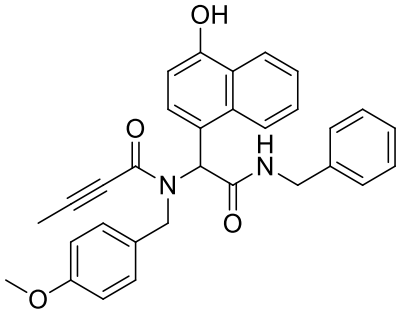
N-(2-(cyclohexylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-fluorobenzyl)but-2-ynamide (**1i**)



White solid, Yield 82 %(mixture of rotamers ~ 2: 3), Melting point: 125-127 ^{o}C. **^{1}H NMR (400 MHz, DMSO-*d6*)** δ 10.29 (s, 0.43H), 10.16 (s, 0.56H), 8.21 (d, *J* = 7.8 Hz, 0.44H), 8.14 – 7.90 (m, 1.57H), 7.66 (d, *J* = 8.5 Hz, 0.44H), 7.58 (d, *J* = 8.5 Hz, 0.55H), 7.43 (t, *J* = 7.6 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 1H), 7.32 – 7.17 (m, 1.19H), 6.87 – 6.60 (m, 2H), 6.58 – 6.37 (m, 4H), 4.92 (d, *J* = 16.0Hz, 0.55H), 4.79 (d, *J* = 15.3 Hz, 0.44H),

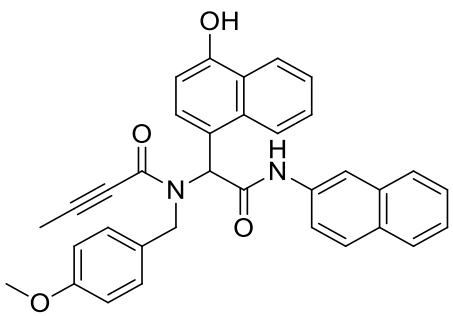
4.53 (d, *J* = 16.0 Hz, 0.56H), 4.09 (d, J = 15.3 Hz, 0.46H), 3.75 – 3.52 (m, 1H), 3.33 (s, 3H), 2.10 (s, 1H), 1.99 (s, 2H), 1.91 (s, 1H), 1.78 – 1.50 (m, 3H), 1.20 – 1.14 (m, 3H). **^{13}C NMR (101 MHz, DMSO-*d6*)** δ 167.0, 165.6, 165.5, 158.1, 155.7, 151.7, 151.6, 150.8, 150.6, 129.8, 129.7, 125.5, 125.4, 124.7, 124.6, 124.4, 123.2, 123.0, 121.3, 121.1, 120.9, 119.6, 119.4, 119.1, 118.9, 118.3, 117.9, 110.1, 109.9, 103.7, 103.6, 87.7, 86.7, 70.7, 69.8, 57.9, 56.5, 52.7, 44.5, 44.3, 36.9, 36.7, 36.4, 36.2, 36.0, 35.8, 35.6, 28.9, 28.8, 28.7, 21.9, 21.2, 21.1, 17.4, 10.8. **HRMS** (ESI) calculated for $C_{29}H_{30}FN_2O_3^+$ ([M+H]$^+$): 473.2235, found 473.2237.

N-(2-(benzylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (**1j**)



Yellow solid, Yield 62%, Melting point: 121-123 ^{o}C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 8.07 – 7.90 (m, 1.60H), 7.56 (d, *J* = 8.1 Hz, 1.06H), 7.47 – 7.29 (m, 1.34H), 7.35 – 7.24 (m, 1.41H), 7.27 – 7.18 (m, 1.40H), 7.19 – 7.05 (m, 2.57H), 6.90 (d, *J* = 7.8 Hz, 0.64H), 6.77 (dd, *J* = 6.7, 2.0 Hz, 1.13H), 6.70 – 6.58 (m, 1.75H), 6.82 – 6.72 (m, 1.14H), 6.47 – 6.37 (m, 0.90H), 6.37 – 6.28 (m, 1.51H), 6.20 (t, *J* = 5.7 Hz, 0.71H), 6.10 (t, *J* = 5.7 Hz, 0.90H), 4.66 (d, *J* = 15.9 Hz, 1H), 4.52 (d, *J* = 15.9 Hz, 1H), 4.44 – 4.28 (m, 2H), 3.60 (s, 3H), 1.96 (s, 3H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 171.7, 170.5, 158.8, 158.4, 156.4, 156.2, 153.9, 153.6, 137.4, 137.0, 133.3, 133.2, 129.9, 129.7, 128.8, 128.7, 128.6, 128.5, 128.4, 127.8, 127.7, 127.6, 127.5, 127.4, 127.2, 125.3, 125.1, 124.9, 124.8, 122.9, 122.5, 122.4, 121.8, 120.4, 119.7, 113.8, 113.2, 108.0, 107.8, 93.2, 90.9, 77.2, 73.8, 73.2, 64.9, 58.7, 55.1, 55.0, 50.2, 44.8, 44.0, 43.9, 4.2, 4.1. **HRMS** (ESI) calculated for $C_{31}H_{29}N_2O_4^+$ ([M+H]$^+$): 493.2121, found 493.2110.

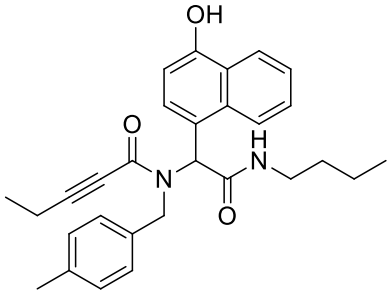
N-(1-(4-hydroxynaphthalen-1-yl)-2-(naphthalen-2-ylamino)-2-oxoethyl)-*N*-(4-methoxybenzyl) but-2-ynamide (**1k**)



White solid, Yield 29%, Melting point: 98-100 ^{o}C.**^{1}H NMR (300 MHz, CDCl$_3$)** δ 8.17 – 8.08 (m, 1H), 8.07 – 7.97 (m, 1H), 7.81 – 7.66 (m, 3.98H),7.50 – 7.35 (m, 4.12H), 7.27 (s, 0.93H), 7.20 (dd, *J* = 8.2, 6.0 Hz, 0.93H), 7.12 – 7.00 (m, 0.93H), 6.96 – 6.82 (m, 1.31H), 6.75 – 6.66 (m, 0.66H), 6.65

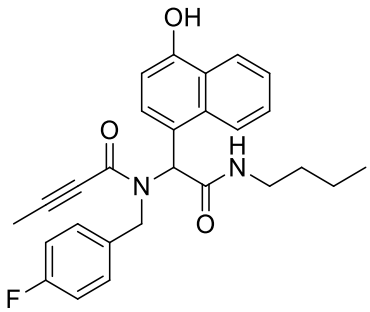
(dd, *J* = 8.3, 2.3 Hz, 1.43H), 6.34 (d, *J* = 8.7 Hz, 1H), 4.90 (d, *J* = 16.1 Hz, 1H), 4.65 (d, *J* = 16.1 Hz, 1H), 4.40 (d, *J* = 5.8 Hz, 1H), 3.73 (s, 1H), 3.60 (s, 2H), 1.98 (s, 2H), 1.92 (s, 1H). **^{13}C NMR (101 MHz, DMSO-*d6*)** δ 166.2, 165.9, 153.9, 153.8, 151.9, 151.5, 151.0, 150.9, 133.1, 132.9, 130.0, 129.8, 126.5, 126.4, 126.2, 125.8, 125.2, 125.1, 124.9, 124.6, 124.1, 124.0, 123.9, 123.4, 123.2, 123.1, 121.4, 121.3, 121.2, 121.0, 119.6, 119.1, 118.8, 117.4, 117.3, 116.8, 116.3, 112.0, 111.8, 108.9, 103.6, 86.8, 70.7, 69.9, 58.8, 54.0, 51.4, 46.3, 43.1, 36.7, 36.5, 36.1, 36.0, 35.9, 35.7, 35.5, 27.9, 18.73. **HRMS** (ESI) calculated for $C_{34}H_{29}N_2O_4^+$ ([M+H]$^+$): 529.2122, found 529.2130.

N-(2-(butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methylbenzyl)pent-2-ynamide (**1l**)



Yellow solid, Yield 76%, Melting point: 95-97 ^{o}C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 8.00 – 7.88 (m, 1H), 7.56 (d, *J* = 8.5 Hz, 1H), 7.45 – 7.28 (m, 1.64H), 7.25 – 7.23 (m, 0.36H), 7.04 (d, *J* = 7.9 Hz, 0.57H), 6.99 – 6.91 (m, 1.45H), 6.83 – 6.63 (m, 3H), 6.56 – 6.45 (m, 1H), 5.84 – 5.69 (m, 1H), 4.95 (d, *J* = 14.6 Hz, 0.65H), 4.75 (d, *J* = 16.0 Hz, 1.35H), 4.34 (d, *J* = 16.0 Hz, 1H), 3.38 – 3.07 (m, 2H), 2.49 (q, *J* = 7.5 Hz, 1H), 2.33 (q, *J* = 7.5 Hz, 1.31H), 2.25 (s, 0.95H), 2.15 (s, 1.75H)1.34 – 1.21 (m, 4H), 1.20 – 1.08 (m, 3H), 0.94 – 0.80 (m, 3H). **^{13}C NMR (75 MHz, CDCl$_3$)** δ 170.7, 156.2, 153.6, 137.7, 136.9, 134.1, 133.3, 129.5, 129.0, 128.7, 127.5, 124.9, 124.7, 122.3, 120.3, 107.9, 96.1, 73.9, 65.4, 59.0, 50.2, 39.6, 31.2, 22.7, 20.9, 19.9, 13.7, 12.6. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_3^+$ ([M+H]$^+$): 457.2486, found 457.2473.

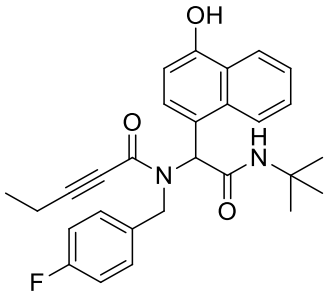
N-(2-(butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-fluorobenzyl)but-2-ynamide (**1m**)



Yellow solid, Yield 64%, Melting point: 112-113 ^{o}C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 8.11 – 7.97 (m, 1H), 7.69 – 7.55 (m, 1H), 7.48 – 7.30 (m, 1.87H), 7.29 – 7.23 (m, 0.76H), 7.02 (d, *J* = 7.9 Hz, 0.63H), 6.84 – 6.71 (m, 0.77H), 6.70 – 6.56 (m, 3H), 6.50 – 6.37 (m, 1H), 5.88 – 5.75 (m, 1H), 4.89 (d, *J* = 16.3 Hz, 1H), 4.61 (d, *J* = 16.3 Hz, 1H), 3.38 – 3.18 (m, 2H), 2.11 (s, 0.71H), 1.94 (s, 2.29H), 1.48 – 1.36 (m, 2H), 1.33 – 1.20 (m, 2H), 0.95 – 0.80 (m, 3H). **^{13}C NMR (101 MHz,**

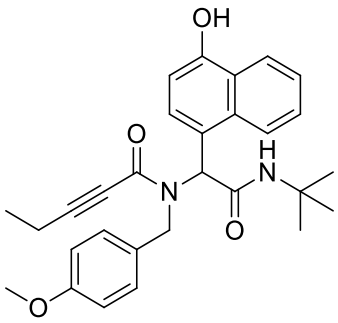
$CDCl_3$) δ 171.2, 170.2, 162.5, 160.1, 156.4, 156.3, 154.1, 153.7, 133.4, 133.3, 132.5, 132.4, 130.3, 130.2, 128.5, 128.4, 128.3, 127.6, 127.4, 125.2, 125.1, 124.6, 122.9, 122.6, 122.5, 121.9, 121.0, 120.1, 115.0, 114.8, 114.2, 114.0, 107.9, 107.6, 93.0, 90.9, 77.3, 73.7, 73.1, 64.4, 57.8, 50.3, 45.3, 39.6, 31.3, 31.2, 20.0, 19.9, 13.7, 13.6, 4.3, 4.12. **HRMS** (ESI) calculated for $C_{28}H_{30}FN_2O_3^+$ ($[M+H]^+$): 447.2078, found 447.2068.

N-(2-(butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (**1n**)



Yellow solid, Yield 75%, Melting point: 154-155 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.97 (d, *J* = 9.4 Hz, 0.69H), 7.90 (d, *J* = 8.1 Hz, 0.47H), 7.66 (d, *J* = 8.5 Hz, 0.80H), 7.55 (d, *J* = 8.5 Hz, 0.59H), 7.47 – 7.30 (m, 2.56H), 7.02 (d, *J* = 7.8 Hz, 0.64H), 6.91 – 6.82 (m, 0.85H), 6.74 – 6.62 (m, 1.86H), 6.59 – 6.52 (m, 1.53H), 6.37 (t, *J* = 8.7 Hz, 1H), 5.55 (s, 0.39H), 5.48 (s, 0.61H), 4.99 (d, *J* = 16.4 Hz, 1H), 4.69 – 4.54 (m, 2H), 2.50 (q, *J* = 7.5 Hz, 0.77H), 2.29 (q, *J* = 7.5 Hz, 1.31H), 1.35 (s,5H) , 1.27 (s, 4H), 1.09 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR (101 MHz, DMSO-*d6*)** δ 169.4, 169.2, 161.2, 158.8, 158.7, 154.9, 154.8, 153.9, 153.7, 133.7, 133.6, 133.4, 133.3, 133.0, 128.6, 128.5, 127.8, 127.7, 127.4, 126.2, 126.1, 124.4, 124.3, 124.1, 123.0, 122.8, 122.2, 122.0, 121.9, 121.6, 113.2, 113.0, 106.9, 106.8, 95.5, 94.4, 74.2, 73.1, 61.2, 56.0, 50.3, 49.5, 46.3, 39.9, 38.9, 28.3, 12.6, 12.4, 11.9, 11.6. **HRMS** (ESI) calculated for $C_{28}H_{30}FN_2O_3^+$ ($[M+H]^+$): 461.2235, found 461.2244.

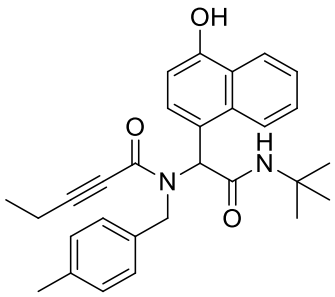
N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methoxybenzyl)pent-2-ynamide (**1o**)



Yellow solid, Yield 80%, Melting point: 114-116 °C**. ^{1}H NMR (300 MHz, $CDCl_3$)** δ 8.00 (s, 1H), 7.88 (d, *J* = 8.4 Hz, 0.58H), 7.76 (d, *J* = 7.2 Hz, 0.42H), 7.54 (d, *J* = 8.8 Hz, 0.56H), 7.48 (d, *J* = 8.4 Hz, 0.45H), 7.41 – 7.31 (m, 1H), 7.24 (d, *J* = 1.3 Hz, 0.38H), 7.14 (d, *J* = 7.8 Hz, 0.70H), 7.03 – 6.97 (m, 1H), 6.87 (d, *J* = 7.8 Hz, 0.53H), 6.68 (dd, *J* = 8.6, 1.7 Hz, 2H), 6.62 – 6.57 (m, 1H), 6.44 (d, *J* = 7.8 Hz, 0.51H), 6.41 (d, *J* = 8.7 Hz, 1H), 5.72 (s, 0.49H), 5.59 (s, 0.55H), 4.85 (d, *J* = 14.6 Hz, 1H), 4.67 (d, *J* = 16.0 Hz, 1H), 4.48 (d, *J* = 16.0 Hz, 1H), 3.72 (s, 1.35H), 3.62 (s,

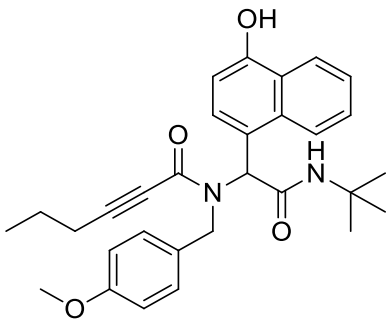
1.68H), 2.51 (q, *J* = 7.5 Hz, 1H), 2.33 (q, *J* = 7.5 Hz, 1H), 1.30 (s, 5H), 1.21 (s, 4H), 1.13 (t, J = 7.5 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.6, 169.9, 159.3, 158.4, 156.6, 156.2, 153.9, 153.5, 133.4, 133.3, 130.8, 130.4, 129.3, 128.6, 128.3, 127.2, 127.1, 125.5, 124.9, 124.8, 124.7, 122.8, 122.5, 122.4, 121.5, 121.1, 119.4, 114.2, 113.3, 108.4, 107.9, 98.2, 95.9, 77.2, 74.1, 73.3, 65.6, 58.8, 55.3, 55.2, 51.9, 51.8, 49.9, 44.3, 31.9, 28.5, 28.2, 22.7, 14.1, 12.9, 12.8, 12.7, 12.6. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_4^+$ ([M+H] $^+$): 473.2435, found 473.2442.

N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methylbenzyl)pent-2-ynamide (**1p**)



Yellow solid, Yield 76%, Melting point: 119-121 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.85 (d, *J* = 7.5 Hz, 0.61H), 7.73 (d, *J* = 7.5 Hz, 0.4H), 7.54 (d, *J* = 8.5 Hz, 0.52H), 7.48 (d, *J* = 8.9 Hz, 0.48H), 7.41 – 7.32 (m, 1H), 7.24 – 7.20 (m, 0.53H), 7.19 – 7.14 (m, 0.48H), 7.10 (d, *J* = 7.9 Hz, 0.50H), 6.98 (s, 1H), 6.83 (d, *J* = 7.9 Hz, 0.51H), 6.76 – 6.65 (m, 2H), 6.60 (d, *J* = 3.5 Hz, 1H), 6.52 (d, *J* = 7.8 Hz, 0.57H), 6.40 (d, *J* = 7.8 Hz, 0.48H), 5.70 (s, 0.48H), 5.59 (s, 0.52H), 4.92 (d, *J* = 14.5 Hz, 1H), 4.70 (d, *J* = 16.0 Hz, 1H), 4.40 (d, *J* = 16.0 Hz, 1H), 2.52 (q, *J* = 7.5 Hz, 1H), 2.32 (q, *J* = 7.5 Hz, 1H), 2.25 (s, 1.35H), 2.13 (s, 1.65H), 1.28 (s, 5.60H), 1.18 (s, 3.36H), 1.12 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR (101 MHz, DMSO-*d6*)** δ 169.5, 169.3, 155.0, 154.8, 153.9, 153.7, 134.6, 134.5, 134.3, 134.2, 133.2, 133.1, 127.4, 127.2, 127.2, 126.7, 126.2, 126.1, 125.9, 124.6, 124.5, 124.1, 123.2, 123.0, 122.2, 122.1, 122.0, 121.8, 106.9, 106.8, 95.0, 94.3, 74.5, 73.3, 61.4, 56.2, 50.3, 49.8, 46.9, 28.3, 20.3, 12.6, 12.3, 11.9, 11.6. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_3^+$ ([M+H]$^+$): 457.2486, found 457.2476.

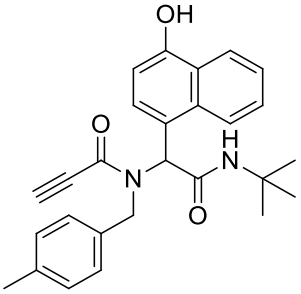
N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methoxybenzyl)hex-2-ynamide (**1q**)



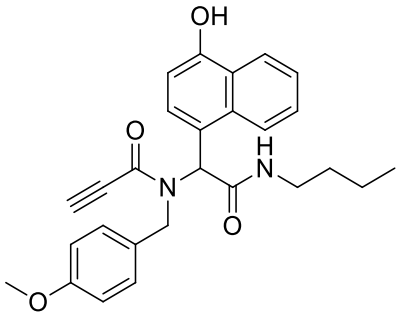
Yellow solid, Yield 88%, Melting point: 155-157 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.87 (d, *J* = 8.4 Hz, 0.55H), 7.75 (d, *J* = 8.9 Hz, 0.44H), 7.58 – 7.44 (m, 1H), 7.41 – 7.31 (m, 1H), 7.25 – 7.21 (m, 0.46H), 7.18 – 7.11 (m, 1H), 7.00 (d, *J* = 8.6 Hz, 1H), 6.88 (d, *J* = 7.8 Hz, 0.55H), 6.68 (d, *J* = 7.3 Hz, 2H), 6.64 – 6.58 (m,

0.98H), 6.56 (d, *J* = 7.9 Hz, 0.64H), 6.45 (d, *J* = 7.9 Hz, 0.44H), 6.40 (d, *J* = 8.7 Hz, 1H), 5.72 (s, 0.32H), 5.60 (s, 0.36H) , 4.84 (d, *J* = 14.6 Hz, 0.43H), 4.67 (d, *J* = 16.0 Hz, 0.50H), 4.49 (d, *J* = 16.0 Hz, 0.52H), 3.72 (s, 1.34H), 3.62 (s, 1.66H), 2.48 (t, *J* = 7.1 Hz, 0.90H), 2.31 (t, *J* = 7.1 Hz, 1.10H), 1.72 (q, *J* = 7.2 Hz, 1H), 1.54 (q, *J* = 7.2 Hz, 1H), 1.30 (s, 5H), 1.21 (s, 4H), 1.10 (t, *J* = 7.4 Hz, 1.23H), 0.92 (t, *J* = 7.4 Hz, 1.75H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 171.6, 170.0, 159.3, 158.4, 156.7, 156.3, 153.9, 153.7, 133.4, 133.2, 130.8, 130.4, 129.3, 128.6, 128.4, 127.2, 127.1, 125.6, 125.0, 124.8, 124.7, 122.9, 122.5, 122.4, 121.4, 120.8, 119.4, 114.2, 113.3, 108.4, 107.9, 97.1, 94.9, 77.2, 74.7, 65.6, 58.9, 55.3, 55.2, 51.9, 51.8, 49.9, 44.4, 28.5, 28.2, 21.3, 21.2, 21.0, 13.7, 13.6. **HRMS** (ESI) calculated for $C_{30}H_{35}N_2O_4^+$ ([M+H]$^+$): 487.2591, found 487.2584.

N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methylbenzyl)propiol amide (**1r**)



Yellow solid, Yield 66%, Melting point: 129-130 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 8.00 (d, *J* = 8.8 Hz, 0.29H), 7.95 – 7.89 (m, 0.74H), 7.86 (d, *J* = 8.5 Hz, 0.41H), 7.55 (t, *J* = 8.3 Hz, 1.18H), 7.44 – 7.33 (m, 1.17H), 7.31 – 7.27 (m, 0.53H), 7.25 – 7.21 (m, 0.52H), 7.16 (d, *J* = 7.7 Hz, 0.66H), 7.00 (d, *J* = 7.7 Hz, 0.28H), 6.96 – 6.87 (m, 1.46H), 6.68 – 6.59 (m, 2.35H), 6.52 (d, *J* = 7.9 Hz, 1.44H), 6.41 (d, *J* = 7.9 Hz, 0.46H), 6.27 – 6.18 (m, 0.56H), 5.65 (s, 0.33H), 5.54 (s, 0.67H), 4.94 – 4.76 (m, 0.77H), 4.73 – 4.56 (m, 1.26H), 3.24 (s, 0.43H), 3.16 (s, 0.58H), 2.23 (s, 1.23H), 2.10 (s, 1.76H), 1.29 (s, 5.52H), 1.19 (s, 3.55H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 170.7, 169.4, 155.3, 154.9, 153.8, 153.4, 136.5, 134.7, 133.4, 129.6, 129.5, 129.2, 128.6, 128.4, 128.4, 127.4, 127.3, 127.0, 125.0, 124.9, 124.7, 124.4, 122.9, 122.4, 122.1, 121.5, 121.0, 119.4, 108.2, 107.8, 81.9, 80.1, 77.2, 76.3, 65.3, 58.6, 56.6, 52.0, 51.9, 50.4, 31.9, 29.0, 28.8, 28.5, 28.2, 22.7, 22.3, 21.0, 20.8, 14.1. **HRMS** (ESI) calculated for $C_{27}H_{29}N_2O_3^+$ ([M+H]$^+$): 429.2173, found 429.2170.

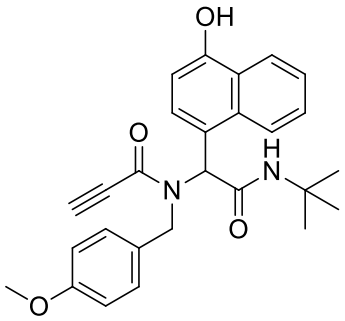


N-(2-(butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methoxybenzyl)propiol amide (**1s**)

Yellow solid, Yield 76%, Melting point: 106-108 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 8.05 – 7.92 (m, 1H), 7.64 – 7.52 (m, 1.19H), 7.48 – 7.37 (m, 1.26H), 7.36 – 7.29 (m, 1H), 7.14 (d, *J* =

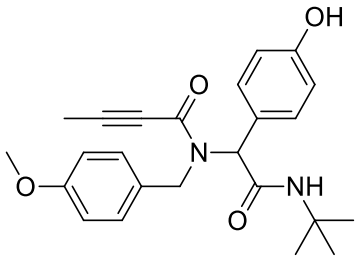
7.9 Hz, 1.15H), 6.98 – 6.84 (m, 1.46H), 6.76 – 6.52 (m, 3.81H), 6.40 (d, *J* = 8.6 Hz, 1.27H), 5.85 – 5.67 (m, 1H), 4.85 – 4.52 (m, 2H), 3.70 (s, 0.82H), 3.62 (s, 2.21H), 3.32 – 3.15 (m, 3H), 1.39 – 1.31 (m, 2H), 1.29 – 1.16 (m, 2H), 0.93 – 0.78 (m, 3H). **^{13}C NMR (101 MHz, DMSO-*d6*)** δ 170.0, 169.9, 157.7, 157.6, 154.7, 154.6, 154.5, 154.4, 133.7, 133.6, 129.7, 129.2, 128.7, 128.4, 128.3, 127.7, 127.0, 126.9, 125.2, 124.9, 124.8, 124.7, 123.2, 122.9, 122.7, 121.7, 121.6, 112.7, 107.4, 107.3, 83.9, 82.9, 77.2, 76.4, 62.0, 56.7, 55.3, 55.2, 50.1, 47.0, 38.8, 38.8, 31.3, 19.9, 14.1. **HRMS** (ESI) calculated for $C_{27}H_{29}N_2O_4^+$ ([M+H]$^+$): 445.2122, found 445.2117.

N-(2-(*tert*-butylamino)-1-(4-hydroxynaphthalen-1-yl)-2-oxoethyl)-*N*-(4-methoxybenzyl)propiol amide (**1t**)



Yellow solid, Yield 80%, Melting point: 145-147 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 8.01 – 7.82 (m, 1.76H), 7.60 – 7.50 (m, 1H), 7.44 – 7.34 (m, 1H), 7.31 – 7.27 (m, 0.52H), 7.26 – 7.19 (m, 1.17H), 6.98 (d, *J* = 7.8 Hz, 0.44H), 6.93 (d, *J* = 8.7 Hz, 0.73H), 6.67 – 6.52 (m, 4H), 6.35 (d, *J* = 8.7 Hz, 1.35H), 5.68 (s, 0.32H), 5.58 (s, 0.69H), 4.78 – 4.62 (m, 2H), 3.70 (s, 1H), 3.60 (s, 2H), 3.45 (s, 0.35H), 3.19 (s, 0.67H), 1.31 (s, 5.52H), 1.23 (s, 3.58H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 171.3, 169.5, 159.2, 158.3, 154.9, 153.8, 133.4, 130.5, 128.5, 128.4, 127.2, 124.9, 122.6, 120.7, 114.0, 113.1, 107.8, 80.2, 77.2, 76.3, 60.5, 55.2, 52.0, 51.9, 50.2, 28.5, 28.3, 21.1, 14.2. **HRMS** (ESI) calculated for $C_{27}H_{29}N_2O_4^+$ ([M+H]$^+$): 445.2122, found 445.2115.

N-(2-(*tert*-butylamino)-1-(4-hydroxyphenyl)-2-oxoethyl)-*N*-(4-methoxybenzyl)but-2-ynamide (**1u**)



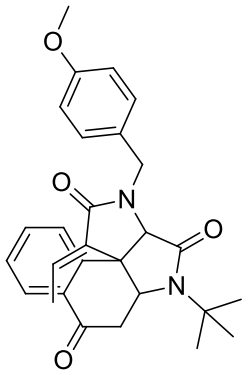
Pale yellow solid, Yield 80%, Melting point: 85-87 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.33 (s, 0.25H), 7.07 (d, *J* = 8.7 Hz, 0.73H), 7.04 – 6.92 (m, 3.28H), 6.82 – 6.66 (m, 2.73H), 6.64 – 6.55 (m, 2H), 5.93 (s, 0.35H), 5.67 (s, 0.61H), 5.58 (s, 0.38H), 5.43 (s, 0.65H), 4.87 (d, *J* = 16.0 Hz, 0.76H), 4.74 (d, *J* = 14.8 Hz, 0.45H), 4.59 (d, *J* = 16.0 Hz, 0.83H), 3.76 (d, *J* = 0.8 Hz, 3H), 2.03 (s, 1H), 1.96 (s, 2H), 1.27 (s, 6.12H), 1.17 (s, 2.88H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 169.8, 168.7, 159.2, 158.8, 157.2, 156.8, 156.4, 156.1, 131.3, 131.0, 130.3, 129.3, 128.7, 125.2, 124.5, 116.2, 115.7, 114.2, 113.8, 92.6, 90.7, 77.2, 73.8,

73.3, 67.7, 63.4, 55.3, 51.7, 51.6, 51.4, 45.2, 28.5, 28.2, 4.1. **HRMS** (ESI) calculated for $C_{24}H_{29}N_2O_4^+$ ($[M+H]^+$): 409.2122, found 409.2129.

General procedure of Au(PPh$_3$)OTf catalyzed domino cyclization to diverse fused azaspiro tetracyclic scaffolds.

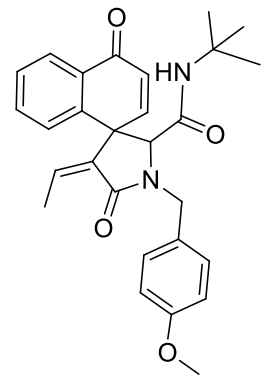
To a glass vial Au(PPh$_3$)Cl (10 mol%) and AgOTf (10 mol%) were loaded along with chloroform (2 mL) to stir for 1 minute to generate cationic gold catalyst in situ without filtration. Ugi product **1a-u** (0.2 mmol) was added and reaction mixture was stirred at 70 ℃ in a screw capped vial until completion. After completion, reaction mixture was diluted with dichloromethane and evaporated under reduced pressure. The residue obtained was purified by silica gel column chromatography (DCM/Et$_2$O = 10: 1) to afford compound **2a-t**, **3u.**

(*E*)-5-(*tert*-butyl)-1-ethylidene-3-(4-methoxybenzyl)-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo [2,3-*c*]indole-2,4,7(5*H*)-trione (**2a**)



Yellow Solid, Yield 92%, Melting point**:** 161-163 ^{o}C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 7.84 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.45 – 7.39 (m, 1H), 7.36 – 7.29 (m, 3H), 6.92 (dd, *J* = 7.9, 1.2 Hz, 1H), 6.85 – 6.76 (m, 3H), 5.35 (d, *J* = 14.3 Hz, 1H), 4.55 (d, *J* = 5.2 Hz, 1H), 4.53 – 4.50 (m, 1H), 3.89 (s, 1H), 3.76 (s, 3H), 3.26 (dd, *J* = 14.9, 5.1 Hz, 1H), 2.54 (dd, *J* = 14.9, 12.0 Hz, 1H), 1.47 (d, *J* = 7.5 Hz, 3H), 1.44 (s, 9H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 194.6, 169.9, 166.5, 159.3, 143.4, 140.3, 135.1, 133.2, 130.6, 130.5, 127.9, 127.7, 127.4, 125.7, 114.1, 65.2, 58.7, 55.2, 55.1, 47.1, 45.2, 44.9, 27.9, 13.8. **HRMS** (ESI) calculated for $C_{28}H_{31}N_2O_4^+$ ([M+H]$^+$): 459.2278, found 459.2289.

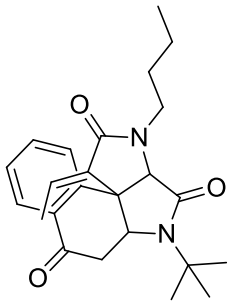
(*E*)-*N*-*(tert*-butyl)-4'-ethylidene-1'-(4-methoxybenzyl)-4,5'-dioxo-4*H*-spiro[naphthalene-1,3'-pyrrolidine]-2'-carboxamide (**3a**)



Yellow Solid, Yield 70% (r.t), Melting point**:** 95-97 ^{o}C**. ^{1}H NMR (300 MHz, CDCl$_3$)** δ 8.14 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.56 – 7.47 (m, 1H), 7.46 – 7.38 (m, 1H), 7.18 (d, *J* = 8.6 Hz, 2H), 7.15 – 7.08 (m, 1H), 6.95 (d, *J* = 10.3 Hz, 1H), 6.88 – 6.73 (m, 3H), 6.52 (d, *J* = 10.3 Hz, 1H), 5.37 (d, *J* = 14.4 Hz, 1H), 4.58 (s, 1H), 4.05 (d, *J* = 14.4 Hz, 1H), 3.78 (s, 3H), 3.65 (s, 1H), 1.23 (d, *J* = 7.4 Hz, 3H), 1.18 (s, 9H). **^{13}C NMR (75 MHz, CDCl$_3$)** δ 183.8, 167.1, 165.0, 159.3, 146.6, 145.3, 134.8, 134.4, 133.8, 131.2, 130.2, 128.8, 128.1, 127.4, 126.8, 126.7, 114.2, 55.3, 52.0, 46.9, 45.5, 28.5, 14.1, 13.2. **HRMS** (ESI)

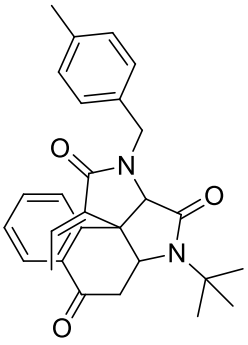
calculated for $C_{28}H_{31}N_2O_4^+$ ([M+H]$^+$): 459.2278, found 459.2289.

(*E*)-5-(*tert*-butyl)-3-butyl-1-ethylidene-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione(**2b**)



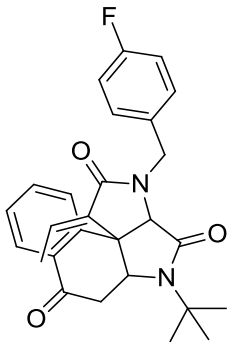
Yellow Solid, Yield 75%, Melting point: 151-153 °C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 7.93 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.60 – 7.52 (m, 1H), 7.45 – 7.37 (m, 1H), 7.14 (dd, *J* = 8.1, 1.1 Hz, 1H), 6.75 (q, *J* = 7.5 Hz, 1H), 4.55 (dd, *J* = 11.9, 5.2 Hz, 1H), 4.15 (s, 1H), 4.01 (ddd, *J* = 13.8, 8.8, 7.1 Hz, 1H), 3.67 – 3.55 (m, 1H), 3.32 (dd, *J* = 15.0, 5.2 Hz, 1H), 2.64 (dd, *J* = 15.0, 11.9 Hz, 1H), 1.69 – 1.56 (m, 2H), 1.47 (d, *J* = 7.5 Hz, 3H), 1.43 (s, 9H), 1.40 – 1.34 (m, 2H), 0.94 (t, *J* = 7.3 Hz, 3H). **^{13}C NMR (75 MHz, CDCl$_3$)** δ 194.6, 169.8, 167.1, 143.6, 140.2, 135.4, 132.5, 130.6, 128.1, 127.4, 125.9, 66.4, 58.6, 55.1, 47.1, 45.5, 41.7, 29.0, 27.9, 20.2, 13.8. **HRMS** (ESI) calculated for $C_{24}H_{31}N_2O_3^+$ ([M+H]$^+$): 395.2329， found 395.2328.

(*E*)-5-(*tert*-butyl)-1-ethylidene-3-(4-methylbenzyl)-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2c**)



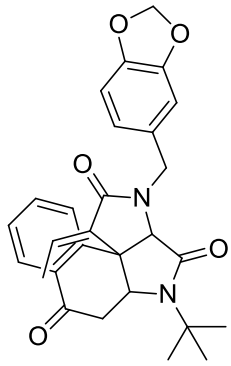
Yellow Solid, Yield 68%, Melting point**:** 217-219 °C**. ^{1}H NMR (300 MHz, CDCl$_3$)** δ 7.84 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.47 – 7.39 (m, 1H), 7.36 – 7.28 (m, 3H), 7.10 (d, *J* = 7.8 Hz, 2H), 6.95 (dd, *J* = 8.0, 1.1 Hz, 1H), 6.80 (q, *J* = 7.5 Hz, 1H), 5.37 (d, *J* = 14.3 Hz, 1H), 4.65 – 4.40 (m, 2H), 3.89 (s, 1H), 3.26 (dd, *J* = 14.9, 5.1 Hz, 1H), 2.54 (dd, *J* = 14.9, 12.0 Hz, 1H), 2.28 (s, 3H), 1.47 (d, *J* = 7.5 Hz, 3H), 1.44 (s, 9H). **^{13}C NMR (75 MHz, CDCl$_3$)** δ 194.6, 169.9, 166.6, 143.3, 140.3, 137.6, 135.1, 133.5, 132.2, 130.6, 129.4, 129.2, 127.9, 127.5, 125.7, 65.1, 58.6, 55.1, 47.1, 45.3, 27.9, 21.1, 13.8. **HRMS** (ESI) calculated for $C_{28}H_{31}N_2O_3^+$ ([M+H]$^+$): 443.2329 , found 443.2331.

(*E*)-5-(*tert*-butyl)-1-ethylidene-3-(4-fluorobenzyl)-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione(**2d**)



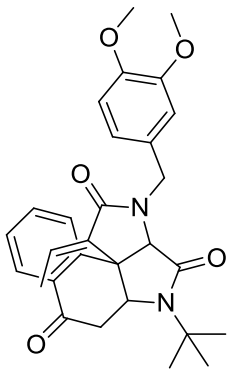
Yellow Solid, Yield 69%, Melting point: 216-218 ºC. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.85 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.48 – 7.30 (m, 4H), 7.07 – 6.93 (m, 2H), 6.89 (dd, *J* = 7.9, 1.2 Hz, 1H), 6.81 (q, *J* = 7.5 Hz, 1H), 5.35 (d, *J* = 14.5 Hz, 1H), 4.64 – 4.47 (m, 2H), 3.88 (s, 1H), 3.28 (dd, *J* = 14.9, 5.2 Hz, 1H), 2.56 (dd, *J* = 14.9, 12.0 Hz, 1H), 1.48 (d, *J* = 7.5 Hz, 3H), 1.45 (s, 9H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.5, 169.9, 166.6, 143.2, 140.0, 135.1, 133.6, 131.5, 130.9, 130.6, 127.9, 127.2, 125.8, 115.8, 115.5, 65.3, 58.7, 55.2, 47.1, 45.2, 44.8, 27.9, 13.9. **HRMS** (ESI) calculated for $C_{27}H_{28}FN_2O_3^+$ ($[M+H]^+$): 447.2078, found 447.2070.

(*E*)-5-(*tert*-butyl)-3-(3,4-dimethoxybenzyl)-1-ethylidene-3,3a,5a,6-tetrahydro-1*H*-benzo [*e*]pyrrolo [2,3-*c*]indole-2,4,7(5*H*)-trione (**2e**)



Yellow Solid, Yield 96% , Melting point: 183-185 ºC. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.85 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.45 – 7.29 (m, 2H), 7.03 – 6.95 (m, 2H), 6.90 (d, *J* = 2.0 Hz, 1H), 6.86 – 6.75 (m, 2H), 5.36 (d, *J* = 14.4 Hz, 1H), 4.60 – 4.48 (m, 2H), 3.91 (s, 1H), 3.83 (d, *J* = 3.1 Hz, 6H), 3.27 (dd, *J* = 14.9, 5.1 Hz, 1H), 2.56 (dd, *J* = 14.9, 12.0 Hz, 1H), 1.48 (d, *J* = 7.5 Hz, 3H), 1.45 (s, 9H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.5, 169.9, 166.6, 149.2, 148.7, 143.4, 140.3, 135.0, 133.3, 130.6, 128.0, 127.9, 127.3, 125.8, 121.9, 111.8, 111.0, 65.1, 58.6, 55.9, 55.1, 47.1, 45.4, 45.3, 27.9, 13.9. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_5^+$ ($[M+H]^+$): 489.2383, found 489.2385.

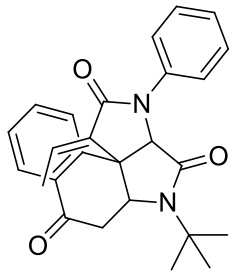
(*E*)-3-(benzo[*d*][1,3]dioxol-5-ylmethyl)-5-(*tert*-butyl)-1-ethylidene-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2f**)



Yellow Solid, Yield 52%. Melting point: 187-189 ºC. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.85 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.49 – 7.41 (m, 2H), 7.38 – 7.30 (m, 1H), 6.97 – 6.90 (m, 2H), 6.80 (q, *J* = 7.5 Hz, 1H), 6.72 (d, *J* = 8.3 Hz, 1H), 5.93 – 5.87 (m, 2H), 5.30 (d, *J* = 14.4 Hz, 1H), 4.60 – 4.46 (m, 2H), 3.92 (s, 1H), 3.27 (dd, *J* = 14.9, 5.1 Hz, 1H), 2.56 (dd, *J* = 14.9, 12.0 Hz, 1H), 1.47 (d, *J* = 7.5 Hz, 3H), 1.44 (s, 9H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.6, 169.9,

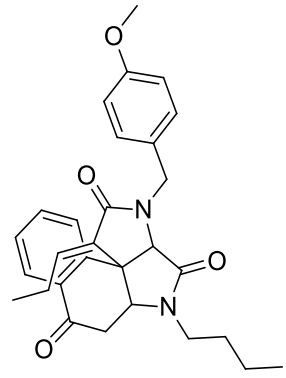
166.5, 147.9, 147.3, 143.3, 140.2, 135.1, 133.4, 130.6, 129.3, 127.9, 127.4, 125.7, 122.8, 109.5, 108.4, 101.1, 65.1, 58.7, 55.1, 47.1, 45.3, 28.0, 13.9. **HRMS** (ESI) calculated for $C_{28}H_{29}N_2O_5^+$ ($[M+H]^+$): 473.2071, found 473.2079.

(*E*)-5-(*tert*-butyl)-1-ethylidene-3-phenyl-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2g**)



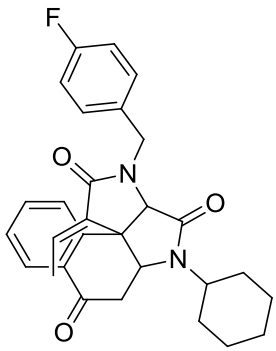
Yellow Solid, Yield 61 %. Melting point: 180-182 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.99 – 7.91 (m, 3H), 7.59 – 7.52 (m, 1H), 7.45 – 7.36 (m, 3H), 7.24 – 7.17 (m, 2H), 6.96 (q, *J* = 7.5 Hz, 1H), 4.80 (s, 1H), 4.68 (dd, *J* = 12.1, 5.1 Hz, 1H), 3.37 (dd, *J* = 15.1, 5.1 Hz, 1H), 2.72 (dd, *J* = 15.1, 12.1 Hz, 1H), 1.58 (d, *J* = 7.5 Hz, 3H), 1.46 (s, 9H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 194.3, 169.2, 165.9, 143.0, 139.8, 138.8, 135.6, 134.9, 130.5, 129.0, 128.2, 127.5, 126.2, 125.7, 122.0, 69.1, 57.8, 55.4, 46.9, 46.4, 27.9, 14.2. **HRMS** (ESI) calculated for $C_{26}H_{27}N_2O_3^+$ ($[M+H]^+$): 415.2016, found 415.2019.

(*E*)-5-butyl-3-(4-methoxybenzyl)-1-propylidene-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2h**)



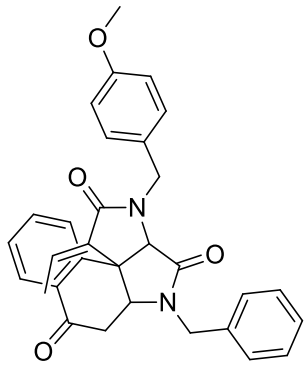
Yellow Solid, Yield 79 %. Melting point**:** 100-103 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.88 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.56 – 7.45 (m, 1H), 7.43 – 7.32 (m, 3H), 7.00 (dd, *J* = 8.0, 1.1 Hz, 1H), 6.89 – 6.80 (m, 2H), 6.73 (dd, *J* = 8.7, 7.3 Hz, 1H), 5.13 (d, *J* = 14.2 Hz, 1H), 4.42 (d, *J* = 14.2 Hz, 1H), 4.18 (t, *J* = 4.7 Hz, 1H), 3.84 (s, 1H), 3.78 (s, 3H), 3.62 (ddd, *J* = 14.1, 8.8, 6.8 Hz, 1H), 3.05 – 2.91 (m, 3H), 1.90 – 1.78 (m, 1H), 1.74 – 1.65 (m, 2H), 1.31 – 1.19 (m, 3H), 0.91 (t, *J* = 7.2 Hz, 3H), 0.78 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.3, 168.4, 166.7, 159.2, 142.5, 139.9, 136.1, 135.2, 131.9, 130.6, 128.2, 128.0, 126.1, 114.0, 68.3, 60.4, 55.2, 46.7, 44.9, 40.0, 38.9, 29.0, 22.0, 19.9, 13.7, 12.7. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_4^+$ ($[M+H]^+$): 473.2434, found 473.2430.

(*E*)-5-cyclohexyl-1-ethylidene-3-(4-fluorobenzyl)-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2i**)



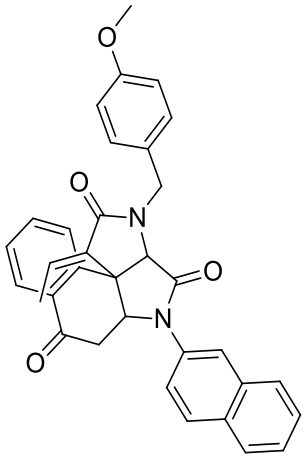
Yellow Solid, Yield 71 %. Melting point: 139-141 ^{o}C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 7.87 (dd, J = 7.8, 1.5 Hz, 1H), 7.49 (dd, J = 7.5, 1.6 Hz, 1H), 7.46 – 7.40 (m, 2H), 7.36 (td, J = 7.6, 1.2 Hz, 1H), 7.01 (t, J = 8.7 Hz, 2H), 6.94 (dd, J = 8.0, 1.2 Hz, 1H), 6.82 (q, J = 7.5 Hz, 1H), 5.20 (d, J = 14.3 Hz, 1H), 4.55 (d, J = 14.3 Hz, 1H), 4.31 (dd, J = 7.3, 5.1 Hz, 1H), 3.86 (s, 1H), 3.67 – 3.54 (m, 1H), 3.12 (dd, J = 15.6, 5.1 Hz, 1H), 2.88 (dd, J = 15.6, 7.4 Hz, 1H), 1.74 – 1.67 (m, 3H), 1.61 – 1.54 (m, 2H), 1.46 (d, J = 7.5 Hz, 3H), 1.41 – 1.07 (m, 5H). **^{13}C NMR (101 MHz, CDCl$_3$)** δ 194.5, 168.9, 166.5, 163.7, 161.2, 142.7, 138.6, 135.2, 133.6, 131.7, 128.0, 127.6, 125.9, 115.7, 115.5, 77.2, 67.1, 59.8, 53.9, 46.1, 44.8, 43.2, 30.9, 29.7, 25.9, 25.3, 14.1. **HRMS** (ESI) calculated for $C_{29}H_{30}FN_2O_3^+$ ([M+H]$^+$): 473.2235, found 473.2241.

(*E*)-5-benzyl-1-ethylidene-3-(4-methoxybenzyl)-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2j**)



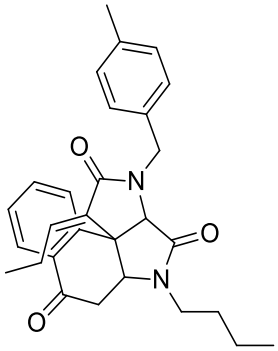
Yellow Solid, Yield 73 %. Melting point**:** 85-87 ^{o}C. **^{1}H NMR (300 MHz, CDCl$_3$)** δ 7.89 (dd, J = 7.9, 1.5 Hz, 1H), 7.55 – 7.49 (m, 1H), 7.47 – 7.43 (m, 2H), 7.40 – 7.34 (m, 1H), 7.31 – 7.27 (m, 3H), 7.08 – 7.01 (m, 3H), 6.91 – 6.85 (m, 2H), 6.79 (q, J = 7.5 Hz, 1H), 5.12 (dd, J = 14.7, 5.5 Hz, 2H), 4.56 (d, J = 14.2 Hz, 1H), 3.97 (t, J = 4.7 Hz, 1H), 3.94 – 3.86 (m, 2H), 3.80 (s, 3H), 2.91 (dd, J = 6.8, 4.7 Hz, 2H), 1.34 (d, J = 7.5 Hz, 3H).**^{13}C NMR (75 MHz, CDCl$_3$)** δ 194.3, 168.6, 166.7, 159.2, 142.0, 137.1, 135.3, 134.3, 133.5, 132.0, 130.8, 129.1, 128.2, 128.1, 127.8, 126.1, 114.0, 68.5, 59.1, 55.3, 46.7, 45.2, 43.9, 38.8, 22.3, 14.2, 14.1. **HRMS** (ESI) calculated for $C_{31}H_{29}N_2O_4^+$ ([M+H]$^+$): 493.2121, found 493.2127.

(*E*)-1-ethylidene-3-(4-methoxybenzyl)-5-(naphthalen-2-yl)-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2k**)



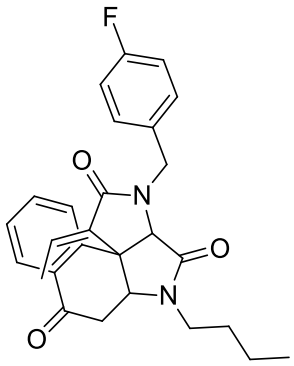
Yellow Solid, Yield 85%. Melting point**:** 107-109 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.97 – 7.90 (m, 2H), 7.88 – 7.77 (m, 3H), 7.61 – 7.50 (m, 4H), 7.46 – 7.39 (m, 2H), 7.18 (dd, *J* = 8.7, 2.1 Hz, 1H), 7.10 (dd, *J* = 7.9, 1.1 Hz, 1H), 6.96 (q, *J* = 7.5 Hz, 1H), 6.89 – 6.82 (m, 2H), 5.16 (d, *J* = 14.3 Hz, 1H), 4.80 (t, *J* = 4.3 Hz, 1H), 4.48 (d, *J* = 14.3 Hz, 1H), 4.04 (s, 1H), 3.78 (s, 3H), 2.94 (d, *J* = 4.4 Hz, 2H), 1.51 (d, *J* = 7.5 Hz, 3H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 193.8, 168.2, 166.6, 159.2, 141.9, 137.5, 133.8, 133.4, 132.5, 132.1, 130.6, 128.2, 128.0, 126.8, 123.3, 114.1, 46.6, 44.9, 38.9, 14.3. **HRMS** (ESI) calculated for $C_{34}H_{29}N_2O_4^+$ ($[M+H]^+$): 529.2122, found 529.2130.

(*E*)-5-butyl-3-(4-methylbenzyl)-1-propylidene-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2l**)



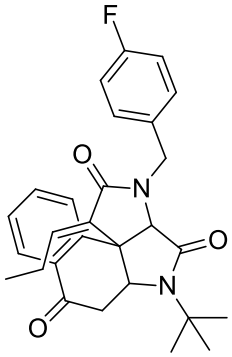
Yellow Solid, Yield 82 %. Melting point**:** 121-123 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.88 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.53 – 7.45 (m, 1H), 7.39 – 7.31 (m, 3H), 7.13 (d, *J* = 7.9 Hz, 2H), 7.02 (dd, *J* = 8.1, 1.1 Hz, 1H), 6.74 (dd, *J* = 8.7, 7.3 Hz, 1H), 5.14 (d, *J* = 14.3 Hz, 1H), 4.45 (d, *J* = 14.2 Hz, 1H), 4.18 (t, *J* = 4.7 Hz, 1H), 3.85 (s, 1H), 3.61 (ddd, *J* = 14.1, 8.9, 6.9 Hz, 1H), 3.02 – 2.94 (m, 2H), 2.31 (s, 3H), 1.84 (dt, *J* = 14.9, 7.4 Hz, 1H), 1.74 – 1.61 (m, 2H), 1.38 – 1.18 (m, 4H), 0.90 (t, *J* = 7.1 Hz, 3H), 0.79 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.3, 168.3, 166.7, 142.5, 139.9, 137.5, 136.1, 135.2, 132.8, 131.9, 129.3, 129.1, 128.2, 128.0, 126.1, 77.2, 68.3, 60.4, 46.7, 45.3, 40.0, 39.0, 28.9, 22.0, 21.2, 19.9, 13.7, 12.7. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_3^+$ ($[M+H]^+$): 457.2486, found 457.2487.

(*E*)-5-butyl-1-ethylidene-3-(4-fluorobenzyl)-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2m**)



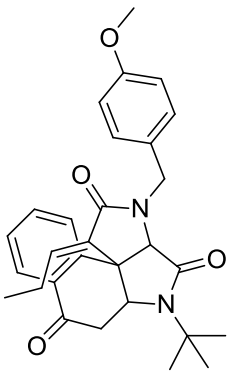
White Solid, Yield 77 %. Melting point**:** 121-123 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.90 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.59 – 7.33 (m, 4H), 7.07 – 6.95 (m, 3H), 6.87 (q, *J* = 7.5 Hz, 1H), 5.09 (d, *J* = 14.3 Hz, 1H), 4.48 (d, *J* = 14.3 Hz, 1H), 4.20 (t, *J* = 4.4 Hz, 1H), 3.82 (s, 1H), 3.69 – 3.48 (m, 1H), 3.01 (d, *J* = 4.4 Hz, 2H), 2.99 – 2.91 (m, 1H), 1.46 (d, *J* = 7.5 Hz, 3H), 1.35 – 1.12 (m, 4H), 0.90 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.2, 168.2, 166.6, 141.9, 137.2, 135.4, 133.7, 132.1, 131.8, 131.1, 130.0, 128.1, 126.2, 115.7, 115.4, 68.6, 60.3, 46.8, 44.8, 40.0, 38.9, 28.9, 19.9, 14.3, 13.7. **HRMS** (ESI) calculated for $C_{27}H_{28}FN_2O_3^+$ ($[M+H]^+$): 447.2078, found 447.2069.

(*E*)-5-(*tert*-butyl)-3-(4-fluorobenzyl)-1-propylidene-3,3a,5a,6-tetrahydro-1*H*-benzo [*e*] pyrrolo [2,3-*c*]indole-2,4,7(5*H*)-trione (**2n**)



White Solid, Yield 67 %. Melting point: 165-167 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.85 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.46 – 7.39 (m, 3H), 7.33 (td, *J* = 7.5, 1.3 Hz, 1H), 7.05 – 6.95 (m, 2H), 6.90 (dd, *J* = 7.9, 1.2 Hz, 1H), 6.69 (dd, *J* = 8.9, 7.2 Hz, 1H), 5.36 (d, *J* = 14.4 Hz, 1H), 4.60 (d, *J* = 14.5 Hz, 1H), 4.48 (dd, *J* = 12.0, 5.2 Hz, 1H), 3.88 (s, 1H), 3.27 (dd, *J* = 14.9, 5.2 Hz, 1H), 2.55 (dd, *J* = 14.9, 12.0 Hz, 1H), 2.01 – 1.81 (m, 2H), 1.45 (s, 9H), 0.72 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 194.5, 169.9, 166.8, 163.6, 161.2, 143.7, 140.2, 138.6, 135.0, 131.6, 131.1, 130.9, 130.5, 128.0, 127.3, 125.8, 115.8, 115.5, 77.2, 65.3, 59.5, 55.2, 47.2, 45.2, 44.8, 28.0, 21.8, 12.4. **HRMS** (ESI) calculated for $C_{28}H_{28}FN_2O_3^-$ $[M-H]^-$: 459.2089, found 459.2083.

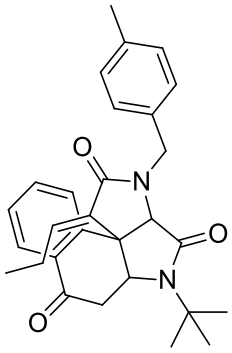
(*E*)-5-(*tert*-butyl)-3-(4-methoxybenzyl)-1-propylidene-3,3a,5a,6-tetrahydro-1*H*-benzo [*e*] pyrrolo [2,3-*c*]indole-2,4,7(5*H*)-trione (**2o**)



Yellow Solid, Yield 66 %. Melting point**:** 189-191 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.83 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.44 – 7.38 (m, 1H), 7.97 – 0.41 (m, 1H), 7.37 – 7.28 (m, 3H), 6.92 (dd, *J* = 8.0, 1.1 Hz, 1H), 6.85 – 6.78 (m, 2H), 6.67 (dd, *J* = 9.0, 7.2 Hz, 1H), 5.35 (d, *J* = 14.4 Hz, 1H), 4.54 (d, *J* = 14.4 Hz, 1H), 4.48 (dd, *J* = 12.0, 5.1 Hz, 1H), 3.89 (s, 1H), 3.76 (s, 3H), 3.26 (dd, *J* = 14.9, 5.1 Hz, 1H), 2.54 (dd, *J* = 14.9, 12.0 Hz, 1H), 1.98 – 1.84 (m, 1H), 1.71

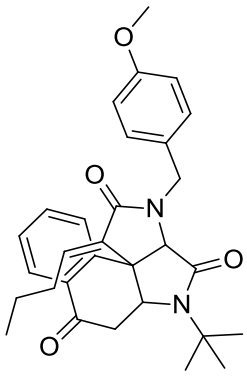
– 1.58 (m, 1H), 1.44 (s, 8H), 0.71 (t, *J* = 7.5 Hz, 3H).**^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.6, 169.9, 166.6, 159.2, 143.8, 139.8, 138.9, 135.0, 130.5, 130.4, 127.8, 127.7, 127.5, 125.7, 114.1, 65.1, 59.4, 55.2, 55.1, 47.2, 45.2, 45.0, 28.0, 21.8, 12.5. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_4^+$ ($[M+H]^+$): 473.2435, found 473.2437.

(*E*)-5-(*tert*-butyl)-3-(4-methylbenzyl)-1-propylidene-3,3a,5a,6-tetrahydro-1*H*-benzo [*e*]pyrrolo [2,3-*c*]indole-2,4,7(5*H*)-trione (**2p**)



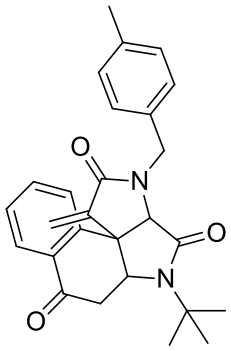
White Solid, Yield 75 %. Melting point**:** 177-179 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.83 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.47 – 7.38 (m, 1H), 7.35 – 7.28 (m, 3H), 7.11 (d, *J* = 7.8 Hz, 2H), 6.95 (dd, *J* = 8.0, 1.3 Hz, 1H), 6.68 (dd, *J* = 8.9, 7.2 Hz, 1H), 5.38 (d, *J* = 14.3 Hz, 1H), 4.57 (d, *J* = 14.3 Hz, 1H), 4.48 (dd, *J* = 12.0, 5.1 Hz, 1H), 3.90 (s, 1H), 3.25 (dd, *J* = 14.9, 5.1 Hz, 1H), 2.53 (dd, *J* = 14.9, 12.0 Hz, 1H), 2.29 (s, 3H), 1.97 – 1.81 (m, 1H), 1.72 – 1.58 (m, 1H), 1.44 (s, 9H), 0.71 (t, *J* = 7.5 Hz, 3H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.6, 169.9, 166.8, 143.8, 139.9, 138.8, 137.58, 135.0, 132.5, 130.5, 129.4, 129.2, 127.8, 127.6, 125.7, 65.1, 59.4, 55.1, 47.2, 45.3, 28.0, 21.8, 21.1, 12.5. **HRMS** (ESI) calculated for $C_{29}H_{33}N_2O_3^+$ ($[M+H]^+$): 457.2486, found 457.2491.

(*E*)-5-(*tert*-butyl)-1-butylidene-3-(4-methoxybenzyl)-3,3a,5a,6-tetrahydro-1*H*-benzo [*e*] pyrrolo [2,3-*c*]indole-2,4,7(5*H*)-trione (**2q**)



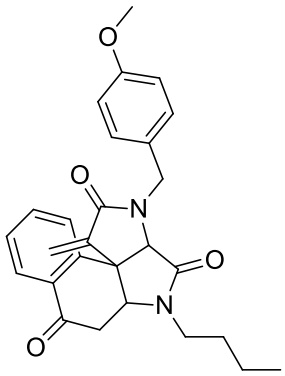
White Solid, Yield 72 %. Melting point**:** 177-178 ^{o}C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.83 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.44 – 7.28 (m, 4H), 6.94 – 6.88 (m, 1H), 6.86 – 6.81 (m, 2H), 6.68 (dd, *J* = 9.5, 6.4 Hz, 1H), 5.36 (d, *J* = 14.3 Hz, 1H), 4.58 – 4.52 (m, 1H), 4.51 – 4.45 (m, 1H), 3.90 (s, 1H), 3.76 (s, 3H), 3.26 (dd, *J* = 14.8, 5.1 Hz, 1H), 2.54 (dd, *J* = 14.8, 12.1 Hz, 1H), 2.02 – 1.82 (m, 1H), 1.65 – 1.49 (m, 1H), 1.44 (s, 9H), 1.30 – 1.15 (m, 1H), 1.13 – 0.96 (m, 1H), 0.54 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.7, 169.9, 166.6, 159.2, 143.9, 139.6, 138.7, 135.0, 130.6, 130.5, 127.8, 127.7, 127.5, 125.6, 114.1, 65.1, 59.4, 55.2, 55.1, 47.2, 45.1, 44.9, 30.1, 28.0, 21.4, 13.5. **HRMS** (ESI) calculated for $C_{30}H_{35}N_2O_4^+$ ($[M+H]^+$): 487.2591, found 487.2591.

5-(*tert*-butyl)-3-(4-methylbenzyl)-1-methylene-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2r**)



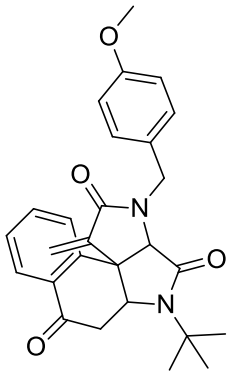
Yellow Solid, Yield 40 %. Melting point**:** 105-106 °C. **^{1}H NMR (400 MHz, $CDCl_3$)** δ 7.86 (d, *J* = 7.9 Hz, 1H), 7.49 – 7.39 (m, 2H), 7.36 – 7.28 (m, 2H), 7.11 (d, *J* = 7.7 Hz, 2H), 6.93 (d, *J* = 8.0 Hz, 1H), 6.32 (s, 1H), 5.39 (d, *J* = 14.4 Hz, 1H), 5.34 (s, 1H), 4.57 (d, *J* = 14.4 Hz, 1H), 4.34 (dd, *J* = 12.2, 5.1 Hz, 1H), 3.94 (s, 1H), 3.26 (dd, *J* = 15.1, 5.1 Hz, 1H), 2.61 – 2.44 (m, 1H), 2.29 (s, 3H), 1.44 (s, 9H).**^{13}C NMR (101 MHz, $CDCl_3$)** δ 194.3, 169.7, 166.1, 149.2, 143.6, 137.7, 135.0, 134.1, 133.9, 132.2, 131.0, 130.2, 129.4, 128.9, 128.0, 127.7, 126.1, 119.2, 64.8, 60.3, 55.2, 46.8, 46.3, 45.5, 28.0, 21.1. **HRMS** (ESI) calculated for $C_{27}H_{29}N_2O_3^+$ ($[M+H]^+$): 429.2173, found 429.2170.

5-butyl-3-(4-methoxybenzyl)-1-methylene-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2s**)



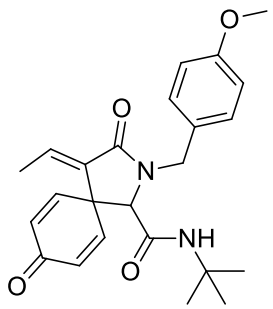
Yellow Solid, Yield 87 %. Melting point: 81-83 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.90 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.54 – 7.47 (m, 1H), 7.44 – 7.37 (m, 3H), 6.94 (dd, *J* = 8.0, 1.2 Hz, 1H), 6.89 – 6.83 (m, 2H), 6.29 (s, 1H), 5.28 (s, 1H), 5.10 (d, *J* = 14.3 Hz, 1H), 4.51 – 4.32 (m, 1H), 4.10 (t, *J* = 4.4 Hz, 1H), 3.91 (s, 1H), 3.79 (s, 3H), 3.65 – 3.49 (m, 1H), 3.09 – 2.79 (m, 3H), 1.42 – 1.14 (m, 4H), 0.95 – 0.76 (m, 3H).**^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.0, 168.2, 165.7, 159.3, 146.5, 141.3, 135.1, 132.5, 130.6, 129.1, 128.3, 127.9, 126.0, 119.4, 114.1, 67.9, 60.4, 55.2, 48.0, 45.1, 40.1, 37.1, 29.0, 19.9, 13.7. **HRMS** (ESI) calculated for $C_{27}H_{29}N_2O_4^+$ ($[M+H]^+$): 445.2122, found 445.2112.

5-(*tert*-butyl)-3-(4-methoxybenzyl)-1-methylene-3,3a,5a,6-tetrahydro-1*H*-benzo[*e*]pyrrolo[2,3-*c*]indole-2,4,7(5*H*)-trione (**2t**)



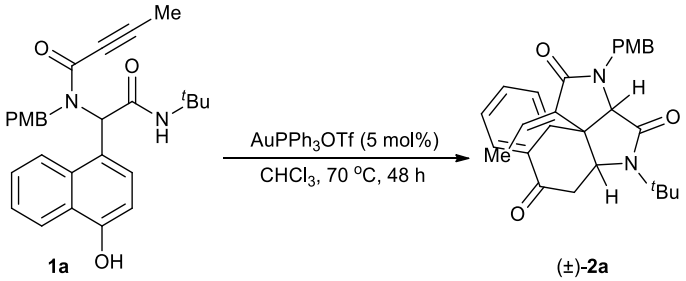
White Solid, Yield 95 %. Melting point**:** 247-249 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.85 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.45 – 7.38 (m, 1H), 7.36 – 7.28 (m, 3H), 6.92 – 6.87 (m, 1H), 6.85 – 6.79 (m, 2H), 6.31 (s, 1H), 5.37 (d, *J* = 15.1 Hz, 2H), 4.54 (d, *J* = 14.4 Hz, 1H), 4.35 (dd, *J* = 12.1, 5.0 Hz, 1H), 3.94 (s, 1H), 3.75 (s, 3H), 3.26 (dd, *J* = 15.0, 5.0 Hz, 1H), 2.53 (dd, *J* = 15.0, 12.1 Hz, 1H), 1.44 (s, 9H).**^{13}C NMR (75 MHz, $CDCl_3$)** δ 194.3, 169.8, 166.1, 159.3, 149.3, 143.6, 135.0, 130.6, 130.1, 128.0, 127.6, 127.3, 126.1, 119.1, 114.1, 64.8, 60.2, 55.2, 46.8, 46.3, 45.2, 28.0. **HRMS** (ESI) calculated for $C_{27}H_{29}N_2O_4^+$ ($[M+H]^+$): 445.2122, found 445.2125.

(*E*)-*N*-(*tert*-butyl)-4-ethylidene-8-hydroxy-2-(4-methoxybenzyl)-3-oxo-2-azaspiro[4.5]deca-6,9-diene-1-carboxamide (**3u**)



White Solid, Yield 65 %. Melting point: 122-123 °C. **^{1}H NMR (300 MHz, $CDCl_3$)** δ 7.19 – 7.10 (m, 2H), 6.93 – 6.76 (m, 5H), 6.67 (dd, *J* = 9.9, 3.0 Hz, 1H), 6.36 (ddd, *J* = 15.3, 10.0, 1.8 Hz, 1H), 5.23 (d, *J* = 14.5 Hz, 1H), 5.07 (s, 1H), 3.96 (d, *J* = 14.5 Hz, 1H), 3.80 (s, 3H), 3.45 (s, 1H), 1.65 (d, *J* = 7.4 Hz, 3H), 1.27 (s, 9H). **^{13}C NMR (101 MHz, $CDCl_3$)** δ 184.8, 167.0, 165.2, 159.5, 148.3, 146.9, 135.6, 130.2, 130.0, 129.6, 129.0, 128.9, 128.6, 127.1, 115.7, 114.3, 113.9, 65.3, 55.3, 52.2, 46.7, 45.6, 28.6, 12.9. **HRMS** (ESI) calculated for $C_{24}H_{29}N_2O_4^+$ ($[M+H]^+$): 409.2122, found 409.2127.

Gram-scale reaction of 2a



To a glass vial $Au(PPh_3)Cl$ (5 mol%) and AgOTf (5 mol%) were loaded along with chloroform (6 mL). Ugi product **1a** (1.10 g, 2.40 mmol) was added and reaction mixture was stirred at 70 °C in a round-bottomed flask until completion. After completion (monitored by TLC), the crude product was purified by silica gel column chromatography (DCM/Et_2O = 10: 1) to afford the desired product **2a** (0.792 g, 72 % yield).

Crystallographic data for compound 2a

Single crystals of compound **2a**, suitable for X-ray diffraction were obtained by slow evaporation from ethanol and toluene at room temperature. X-ray intensity data were collected at room temperature on an Agilent Supernova diffractometer, equipped with an Atlas CCD detector, using Mo Kα radiation (λ = 0.7107 Å). The images were interpreted and integrated with the CrysAlisPro software from Agilent Technologies.[1] Using Olex2,[2] the structure was solved with the ShelxS[3] structure solution program using Direct Methods and refined with the ShelxL[3] refinement package using full-matrix least squares minimization on F^2. Non hydrogen atoms were anisotropically refined and the hydrogen atoms in the riding mode with isotropic temperature factors were fixed at 1.2 times U_{eq} of the parent atoms (1.5 for methyl groups). CCDC 1515145 contains the supplementary crystallographic data for this paper and can be obtained free of charge via www.ccdc.cam.ac.uk/conts/retrieving.html (or from the Cambridge Crystallographic Data Centre, 12, Union Road, Cambridge CB2 1EZ, UK; fax: +44-1223-336033; or deposit@ccdc.cam.ac.uk).

Details of crystallographic data

Compound reference	**2a**
Chemical formula	C_{28} H_{30} N_2 O_4
Chemical formula weight	458.54
Crystal system	Orthorhombic
Cell length a/ Å	17.4289(10)
Cell length b/ Å	9.9800(5)
Cell length c/ Å	28.0460(14)
Cell angle alpha / Å	90
Cell angle beta / Å	90
Cell angle gamma / Å	90
Cell volume / Å^3	4878.4(4)
Cell measurement temperature /K	293.8(3)
Space group name H-M alt	P b c a
Cell formula units, Z	8
Density/ g/cm^3	1.401
Crystal size/mm^3	0.3×0.15×0.15
Radiation type	MoK\a
Absorpt coefficient mu	0.084
Crystal *F* 000	1952
Reflections measured	29839
Parameters	312
R1 for all	0.1001
R1 for 3457	0.0732 (Fo > 4sig Fo)
Goodness of fit	1.045
CCDC	1515145

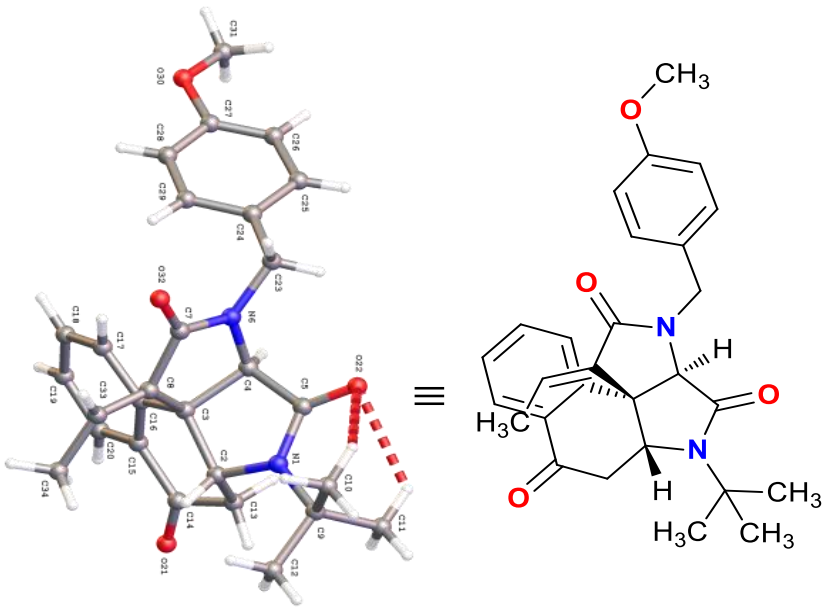


Figure 1. Crystal structure of compound **2a**. Thermal ellipsoids are drawn at the 50% probability level

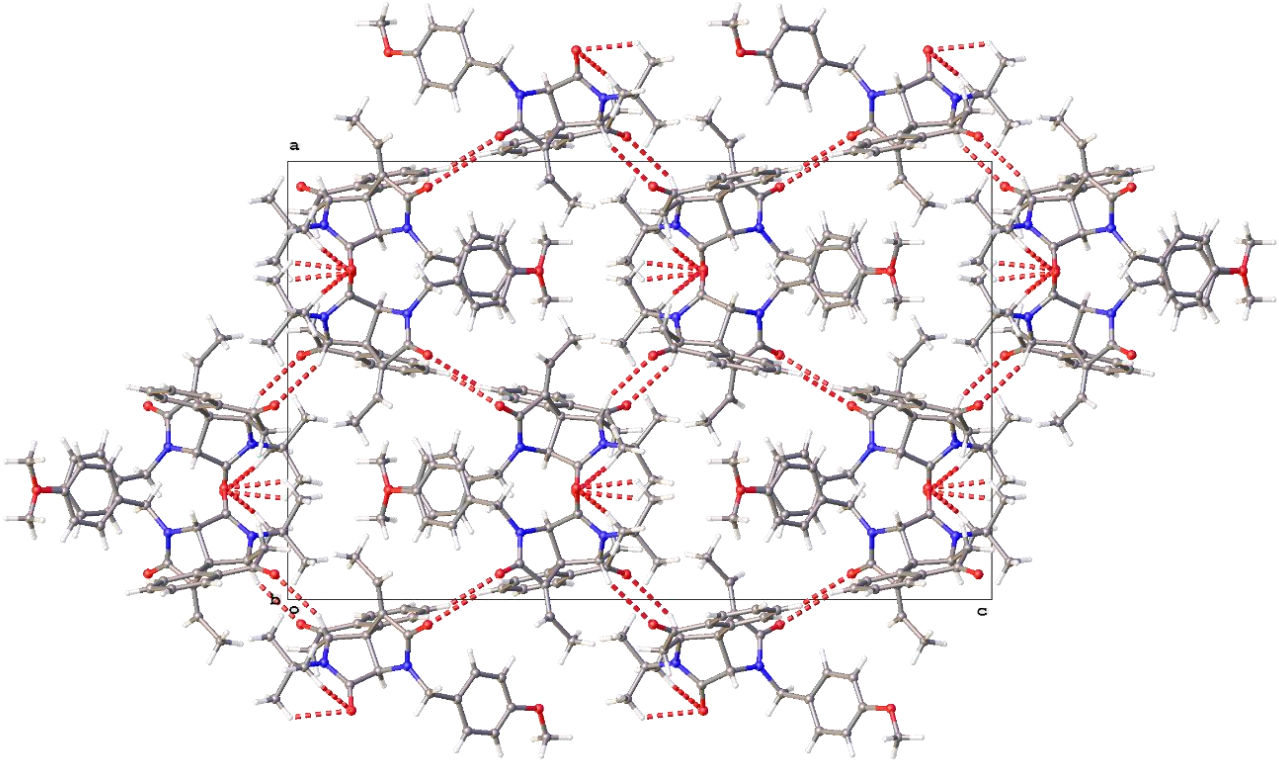


Figure 2. Crystal packing of compound **2a**.

References

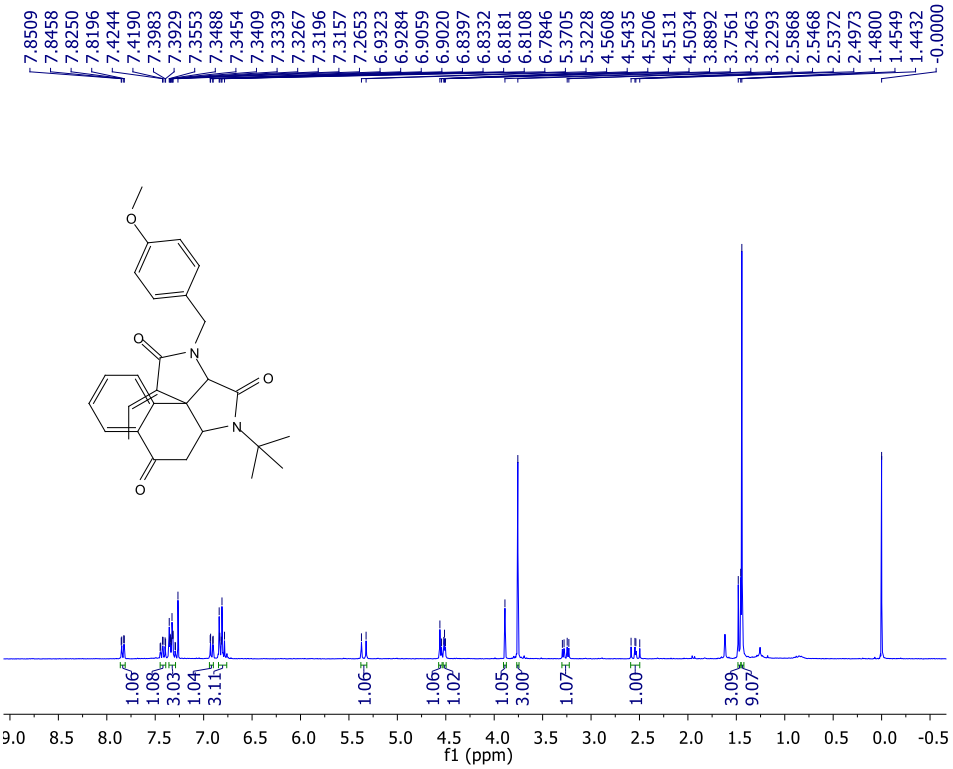
[1] CrysAlis PRO (2012). Agilent Technologies UK Ltd, Yarnton, Oxfordshire, England.

[2] O. V. Dolomanov, L. J. Bourhis, R. J. Gildea, J. A. K. Howard and H. Puschmann, OLEX2: a complete structure solution, refinement and analysis program. J. Appl.Cryst. (2009). **42**, 339-341.

[3] G.M. Sheldrick, Acta Cryst. (2008). **A64**, 112-122.

Copies of NMR spectra (Post-ugi products)

^{1}H and ^{13}C NMR spectra of compound **2a**.



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.9
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5161
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-04-08T09 :34:00
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23	Nucleus	1H
24	Acquired Size	16384
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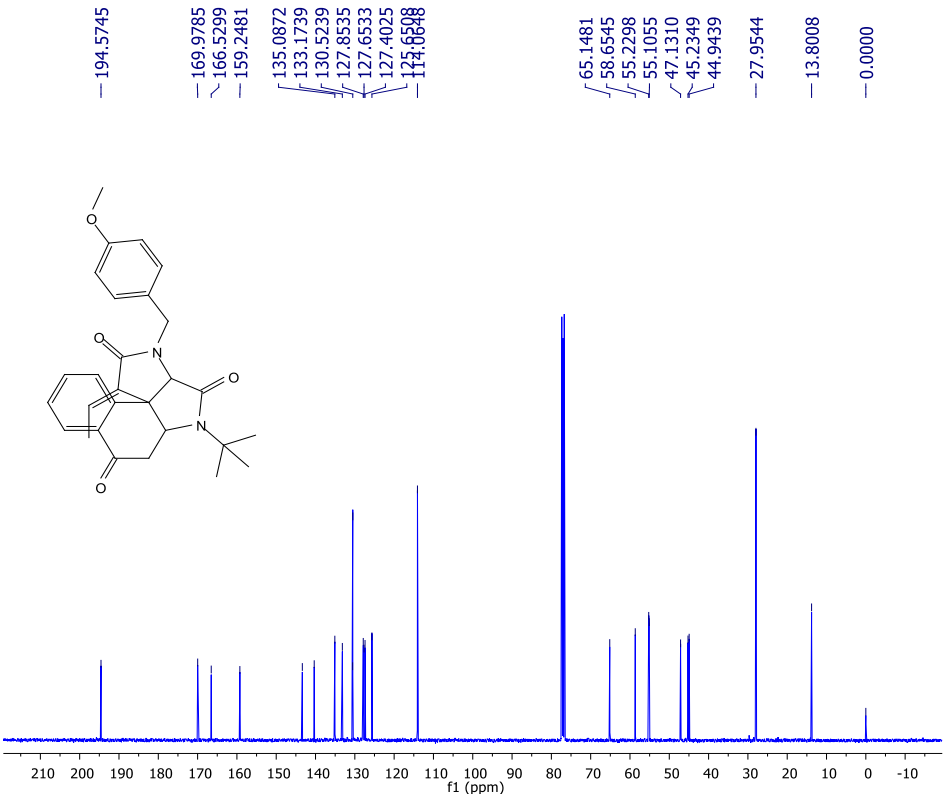

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8	Author	
9	Solvent	CDCl3
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24	Acquired Size	32768
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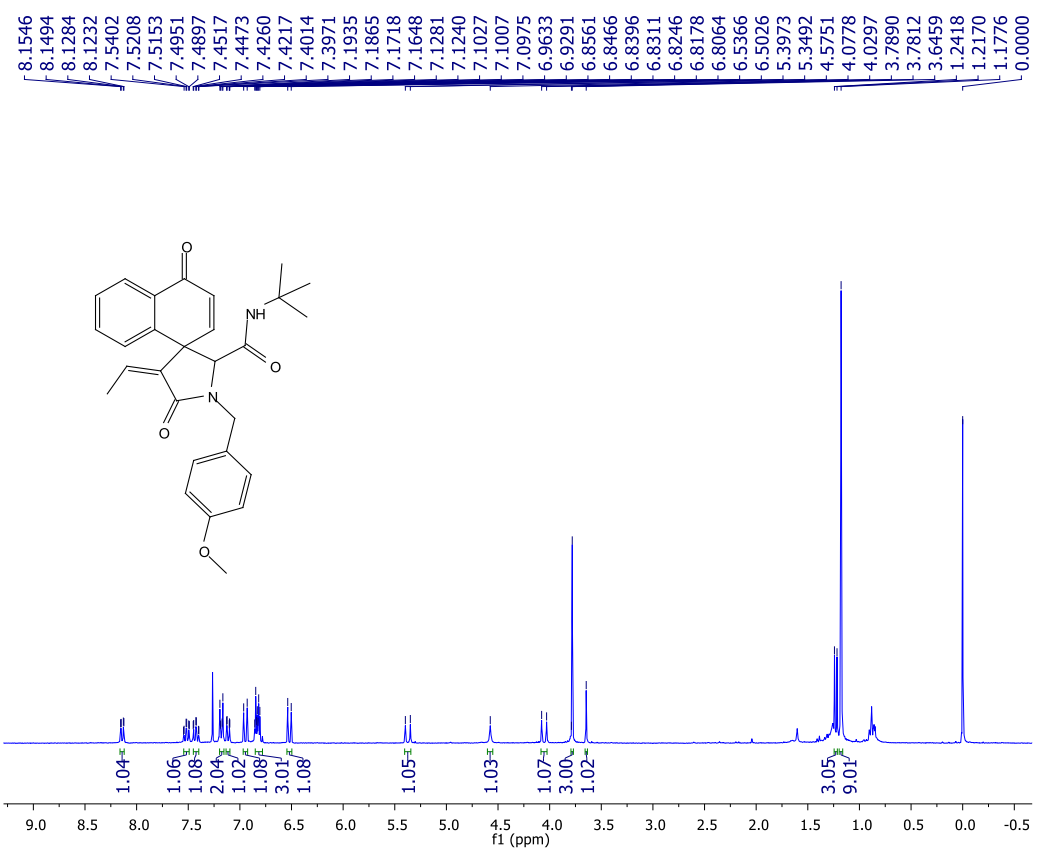
^{1}H and ^{13}C NMR spectra of compound **3a.**



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16	Pulse Width	9.5000
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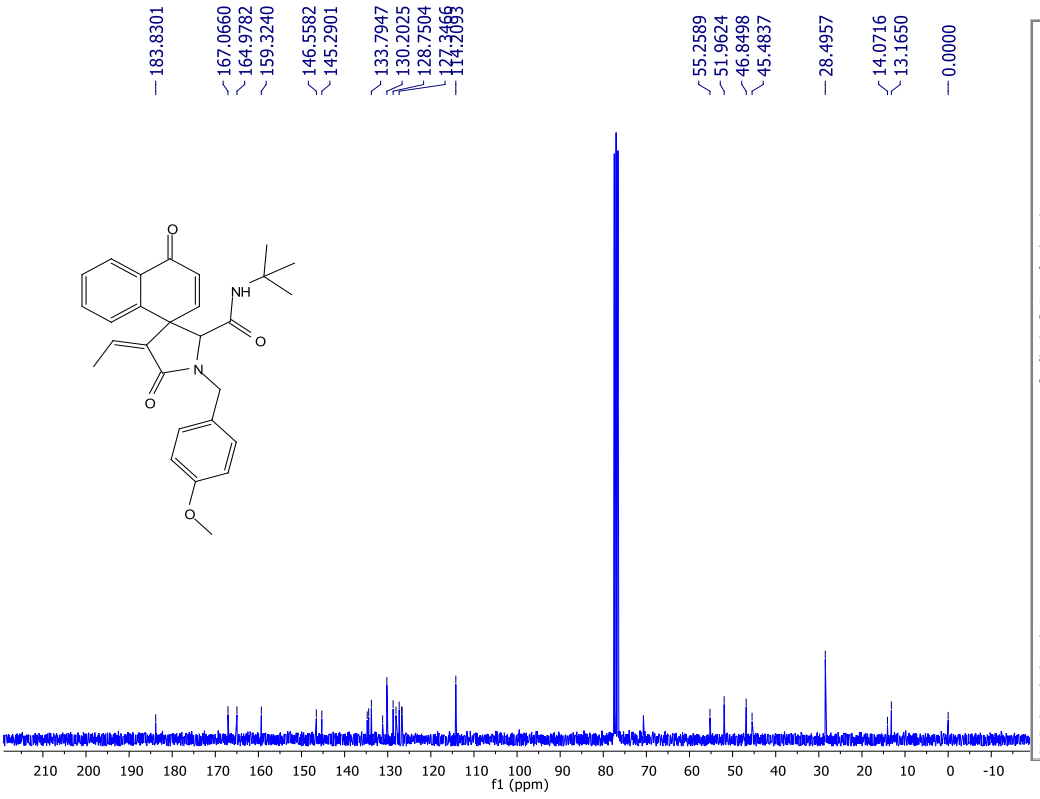

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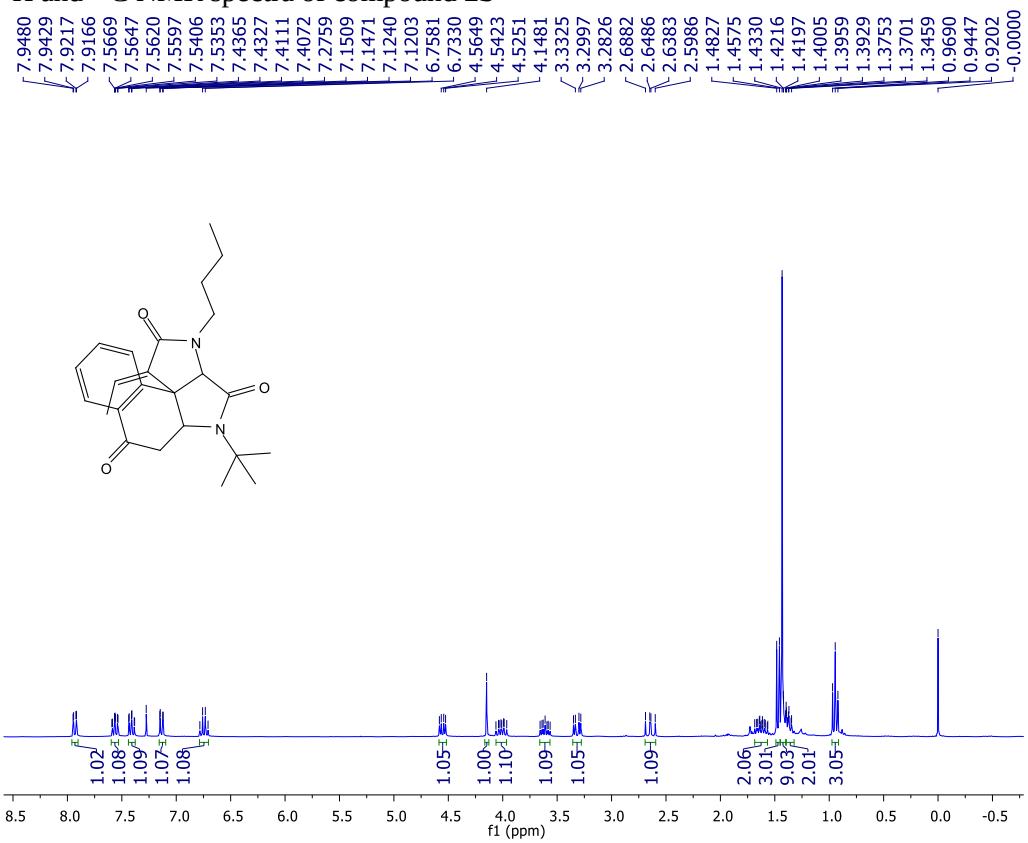
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2b**



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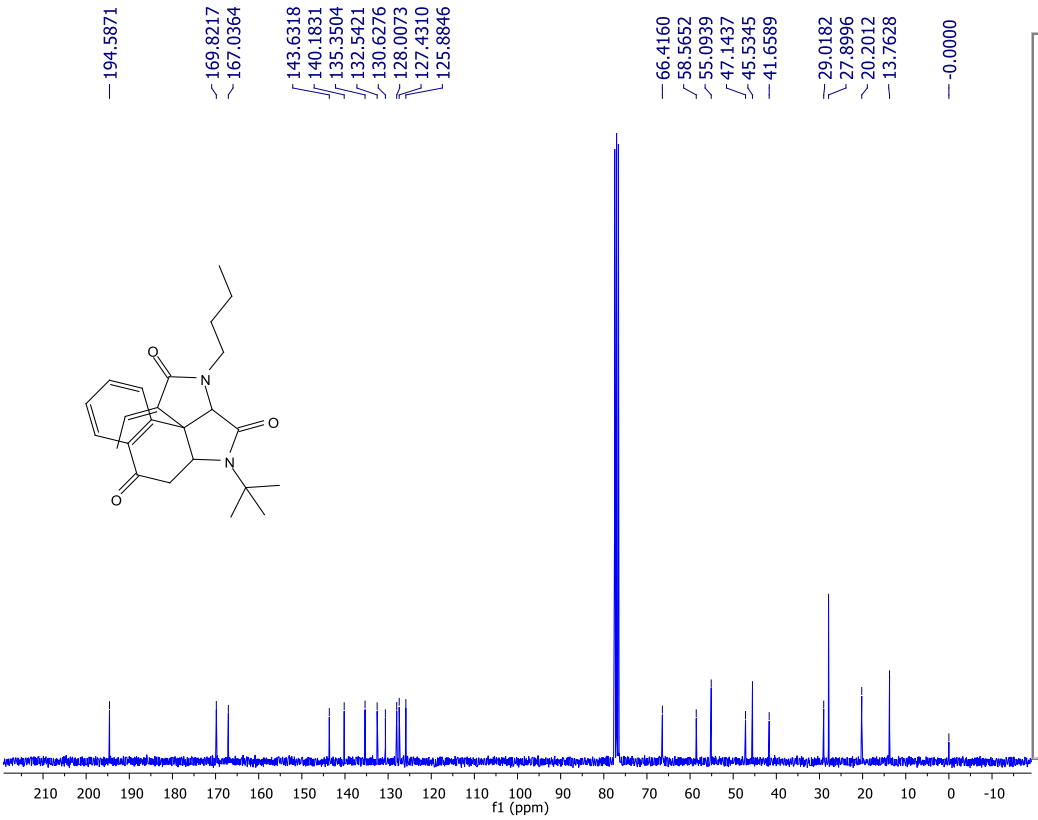

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24	Acquired Size	32768
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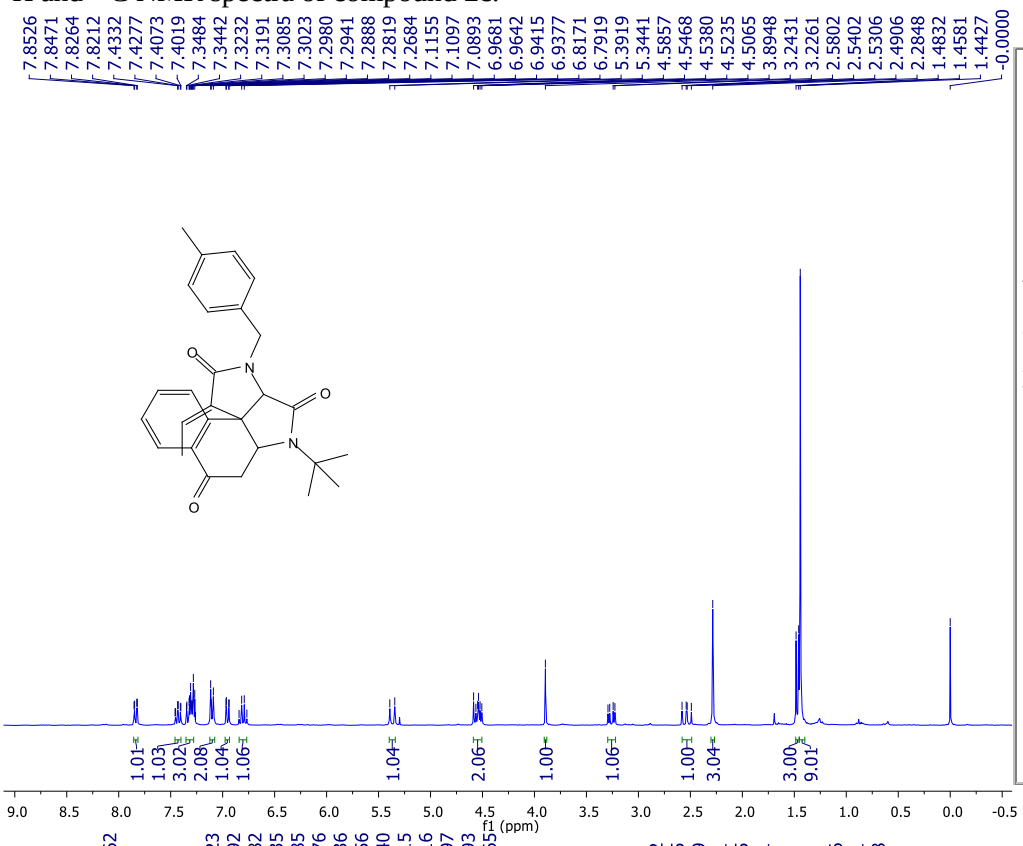
^{1}H and ^{13}C NMR spectra of compound **2c**.



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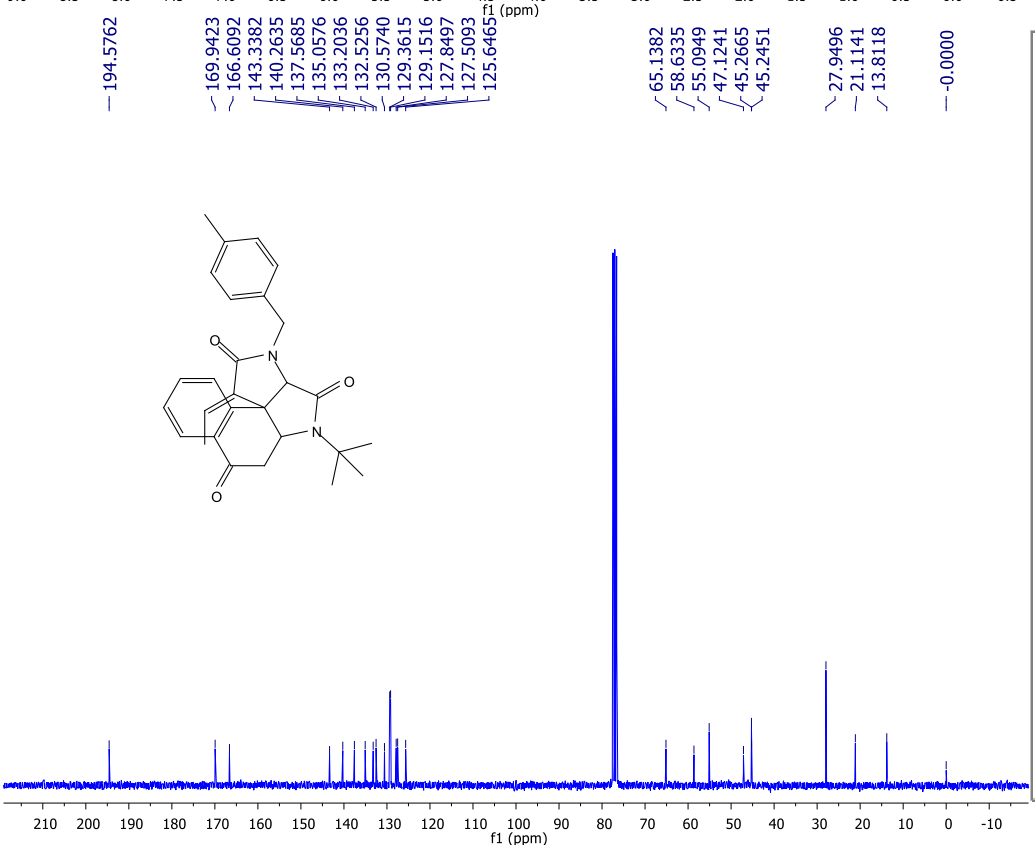

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20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
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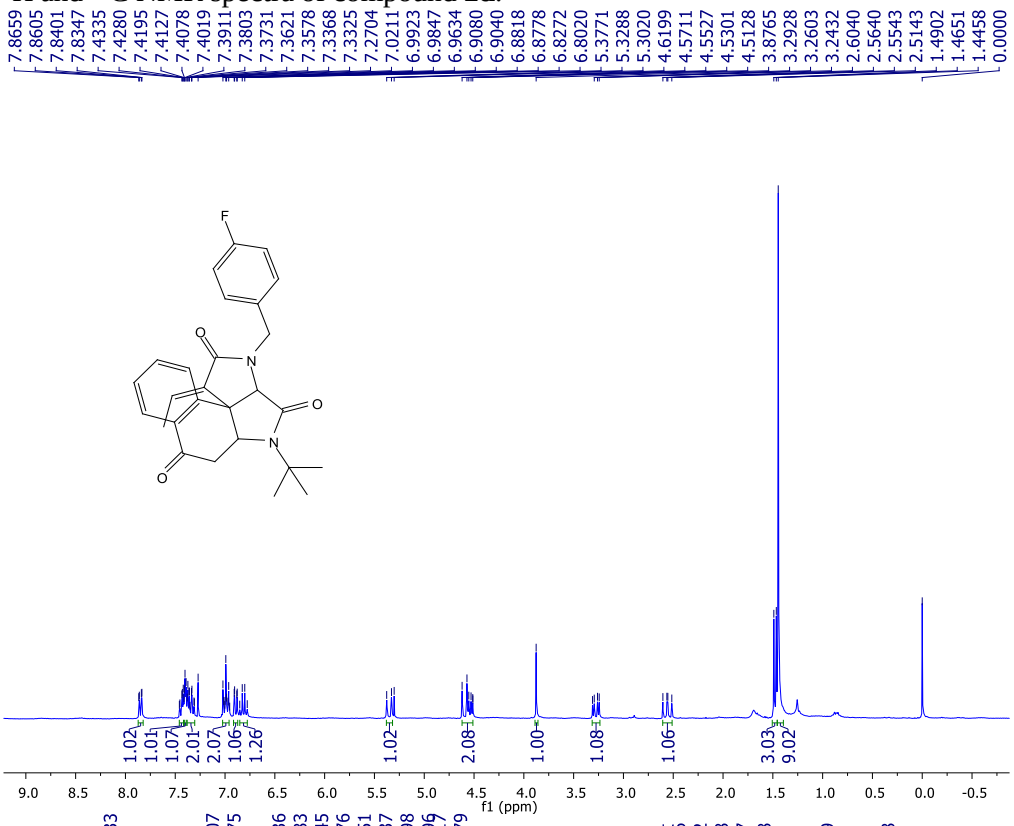
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2d**.



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12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
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16	Pulse Width	9.5000
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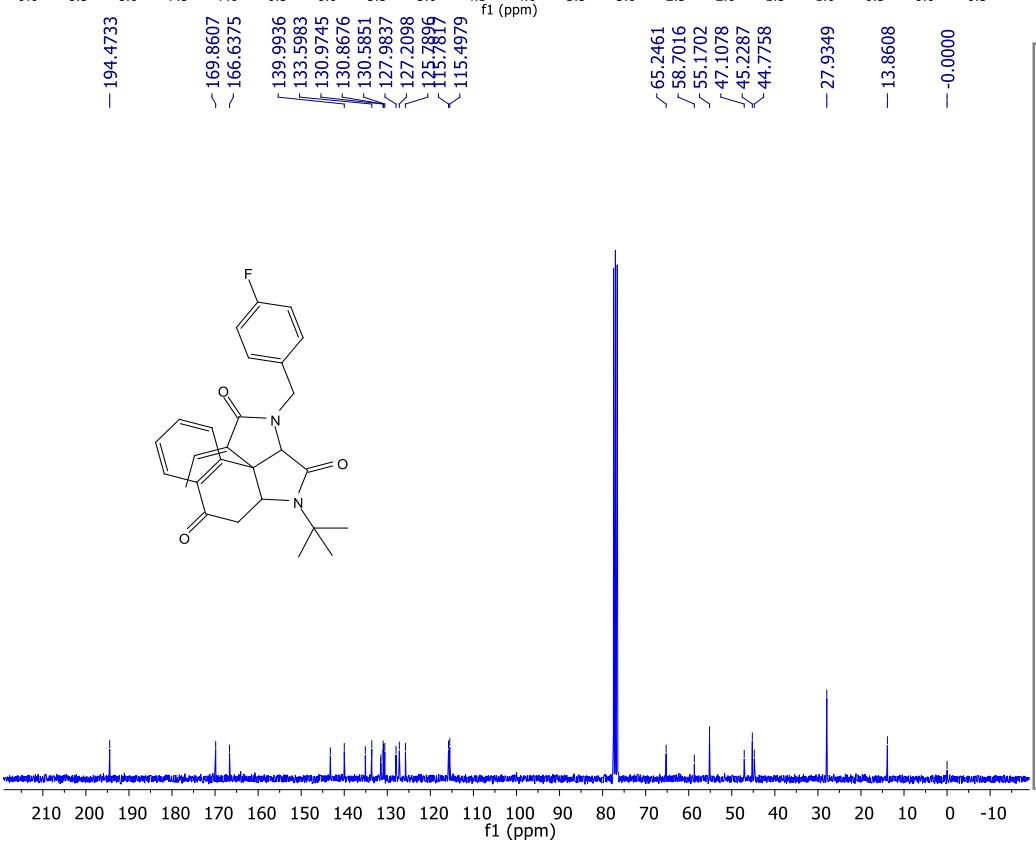

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12	Experiment	1D
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21	Spectral Width	17985.6
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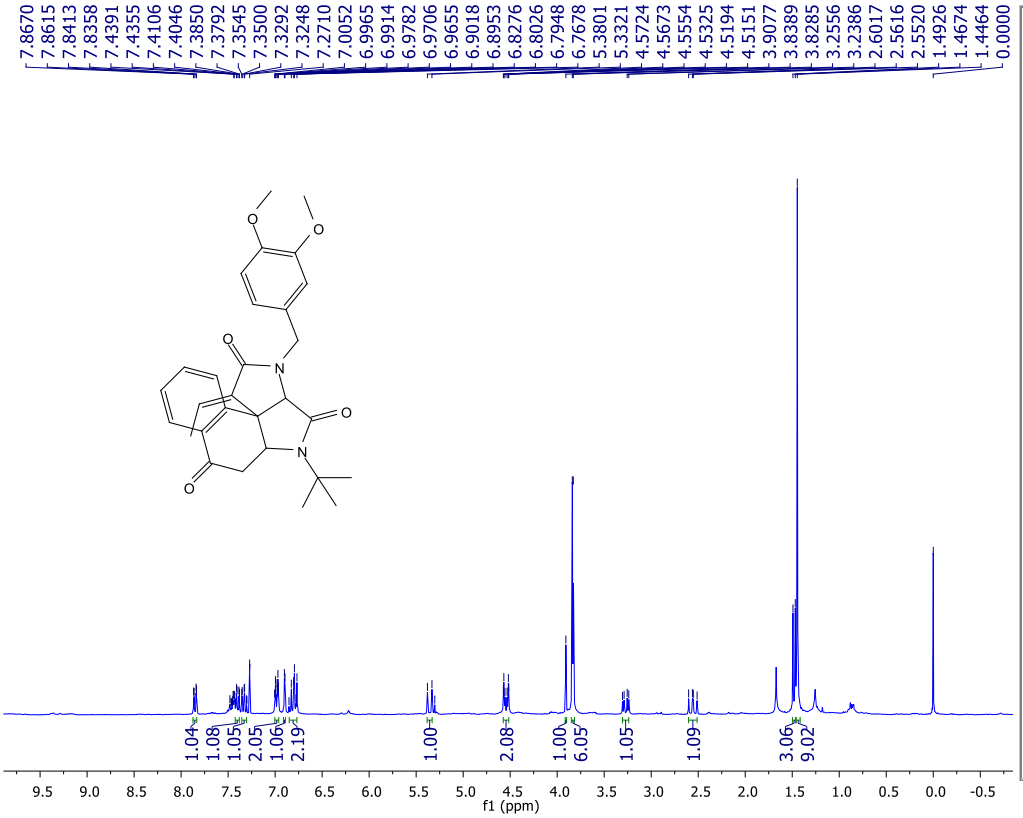
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2e**.



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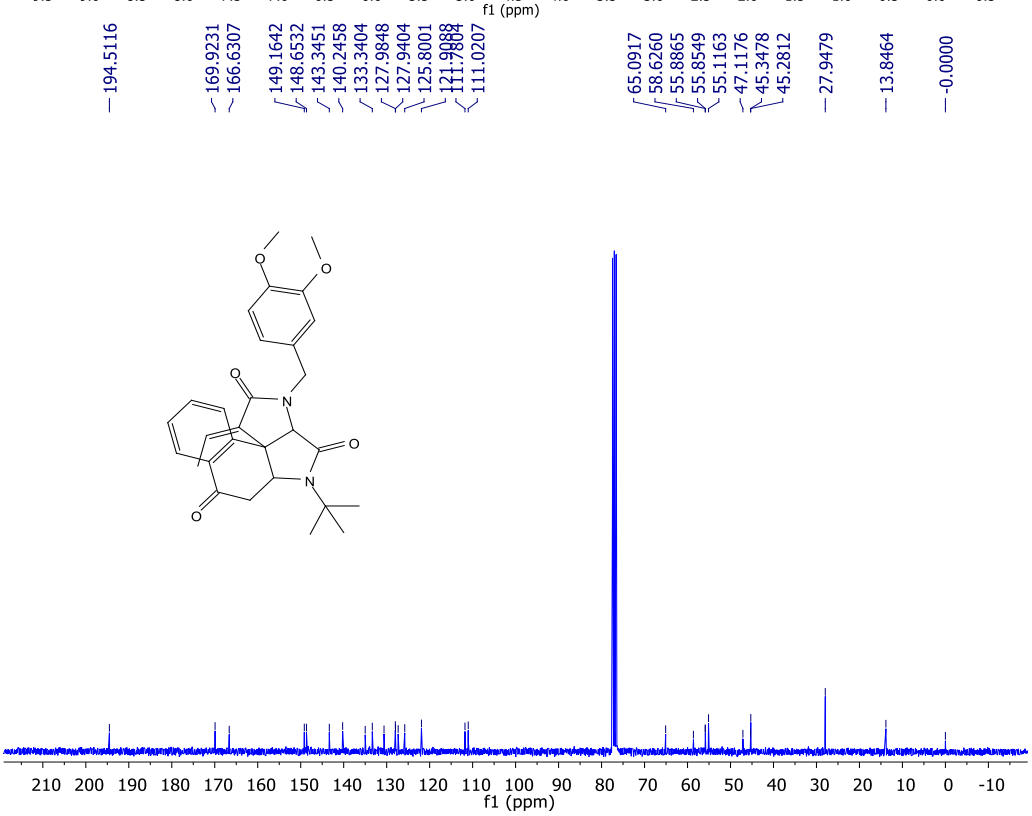

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12	Experiment	1D
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24	Acquired Size	32768
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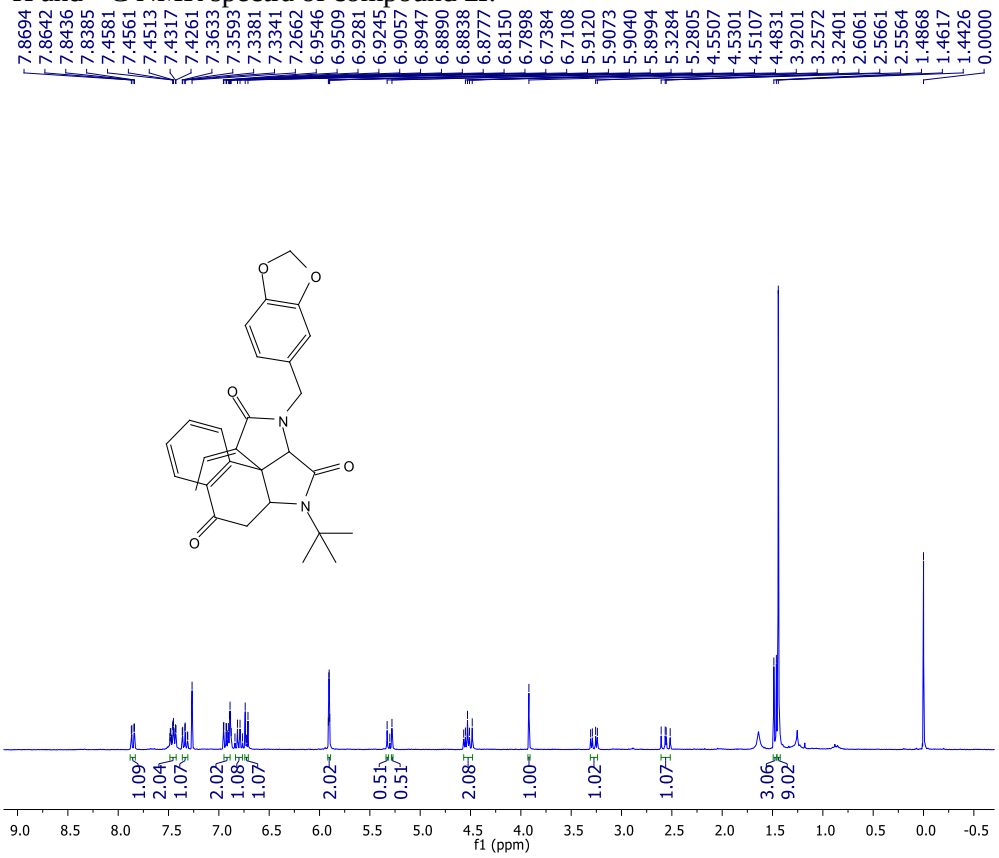
^{1}H and ^{13}C NMR spectra of compound **2f**.



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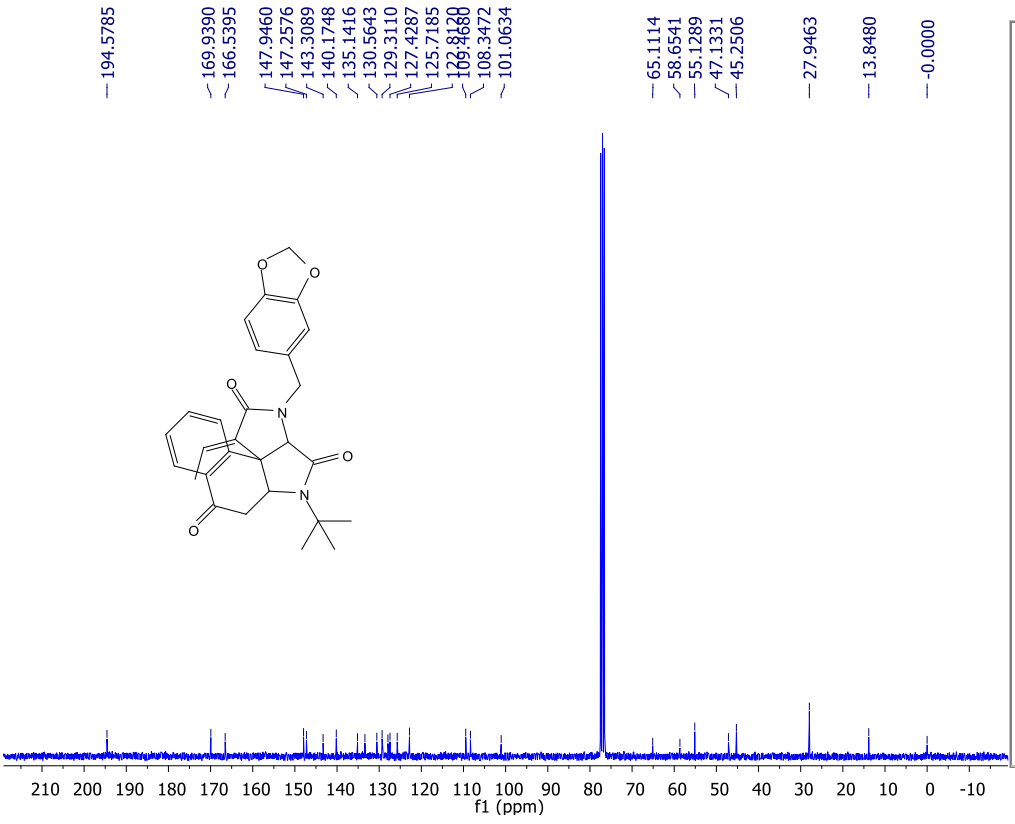

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12	Experiment	1D
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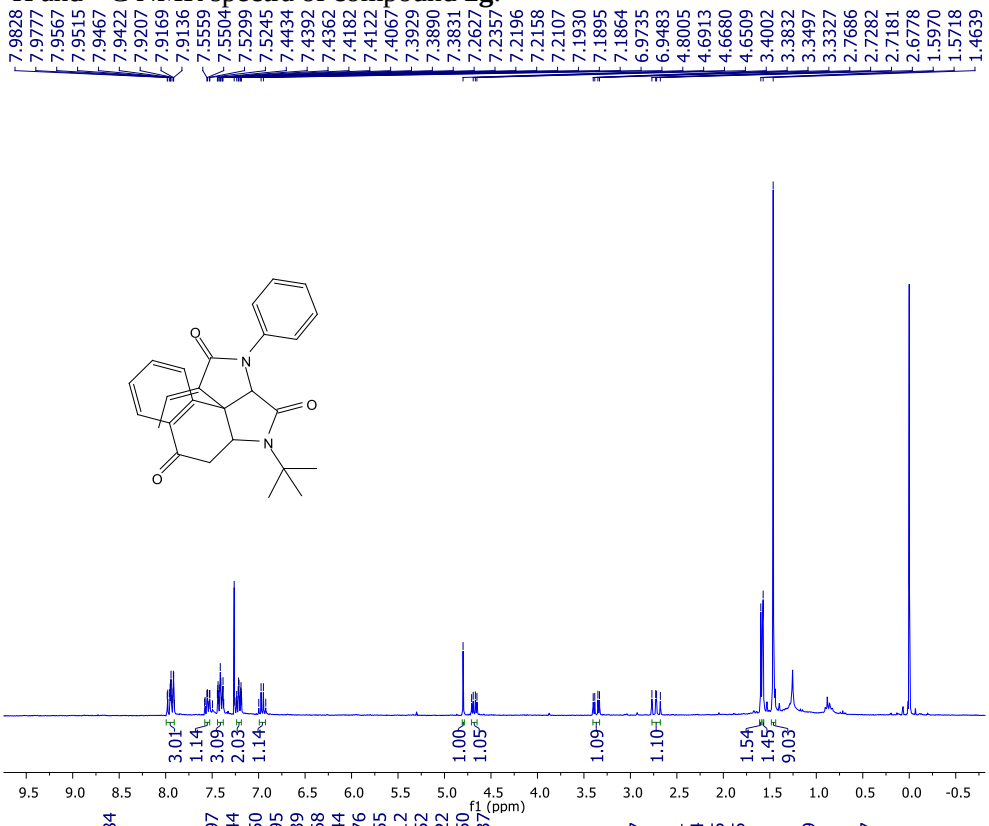
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-09-03T09:51:00
19	Modification Date	2016-09-03T09:51:07
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.7
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2g**.



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3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.8
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	32
14	Receiver Gain	11585
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-10-12T15 :23:00
19	Modification Date	2016-10-12T15 :23:46
20	Spectrometer Frequency	300.13
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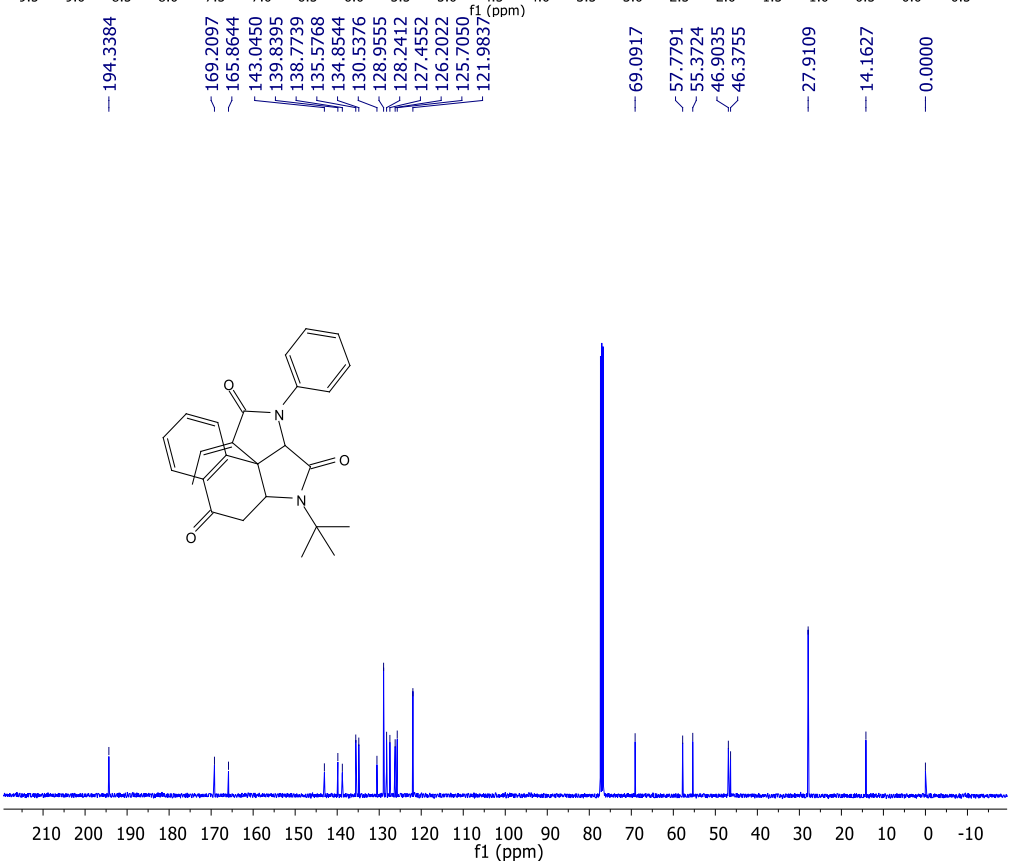
22	Lowest Frequency	-1238.7
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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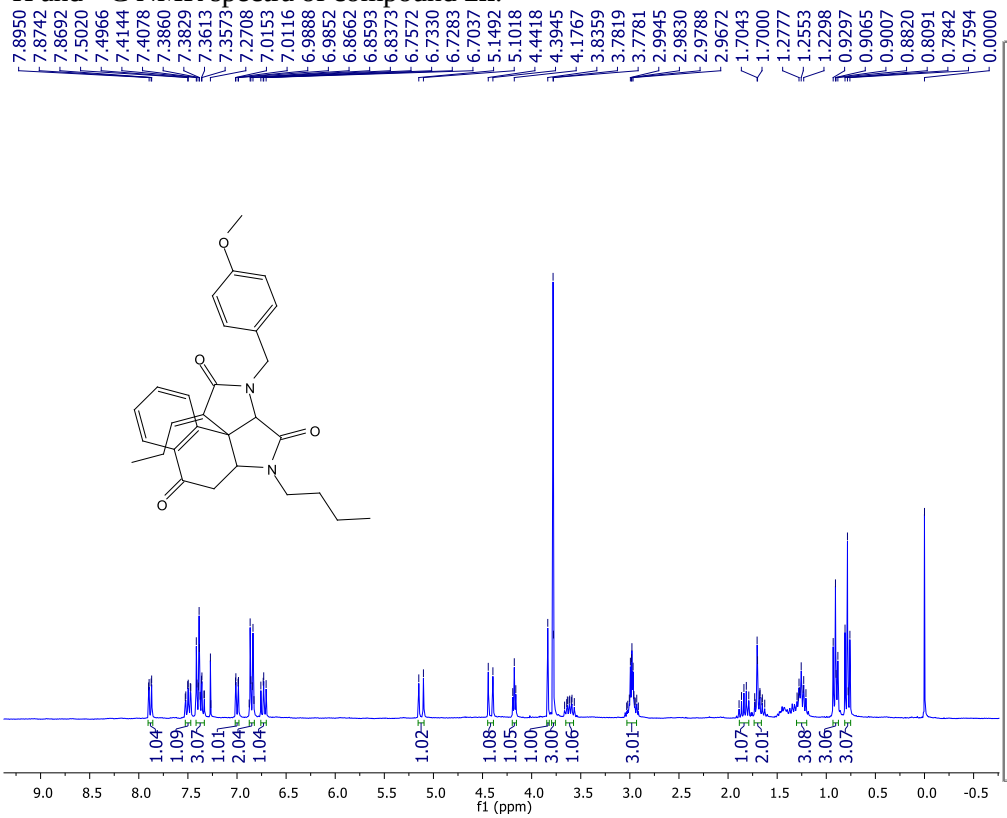
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.3
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	848
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-01T13 :34:00
19	Modification Date	2016-11-01T13 :34:37
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.1
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **2h**.



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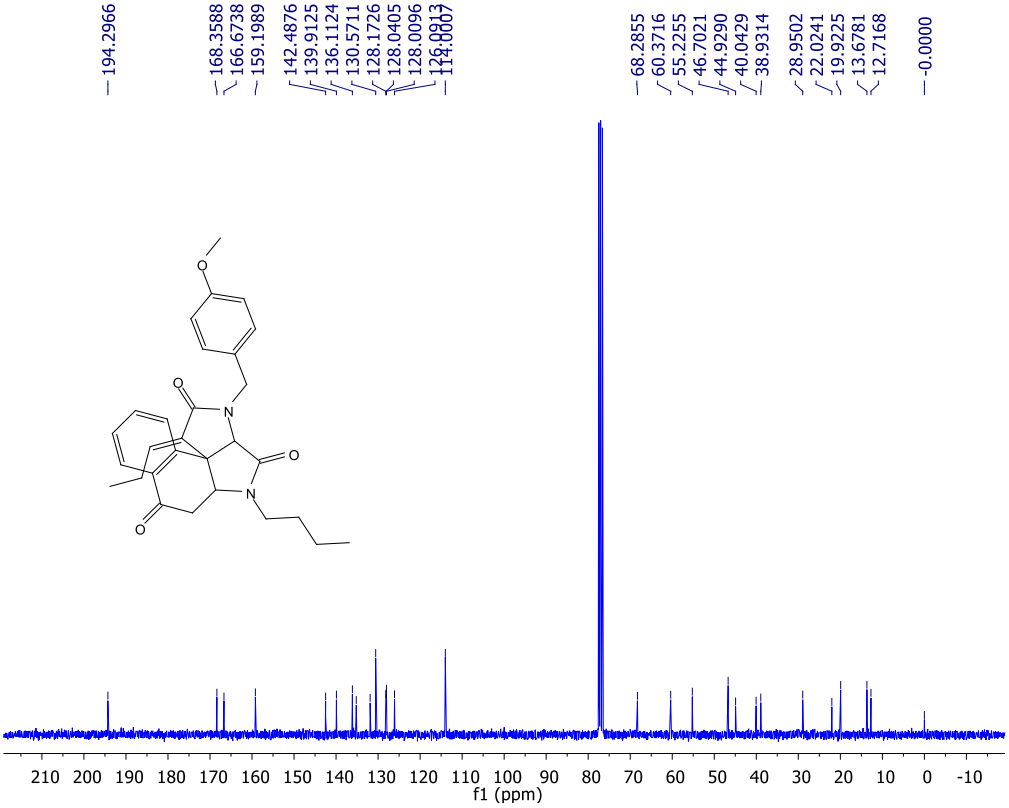
2	Title	EVDE_YH_017_ R46
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.2
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	3649
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-07-25T14 :25:00
19	Modification Date	2016-07-25T14 :25:10
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1236.1
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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3	Comment	
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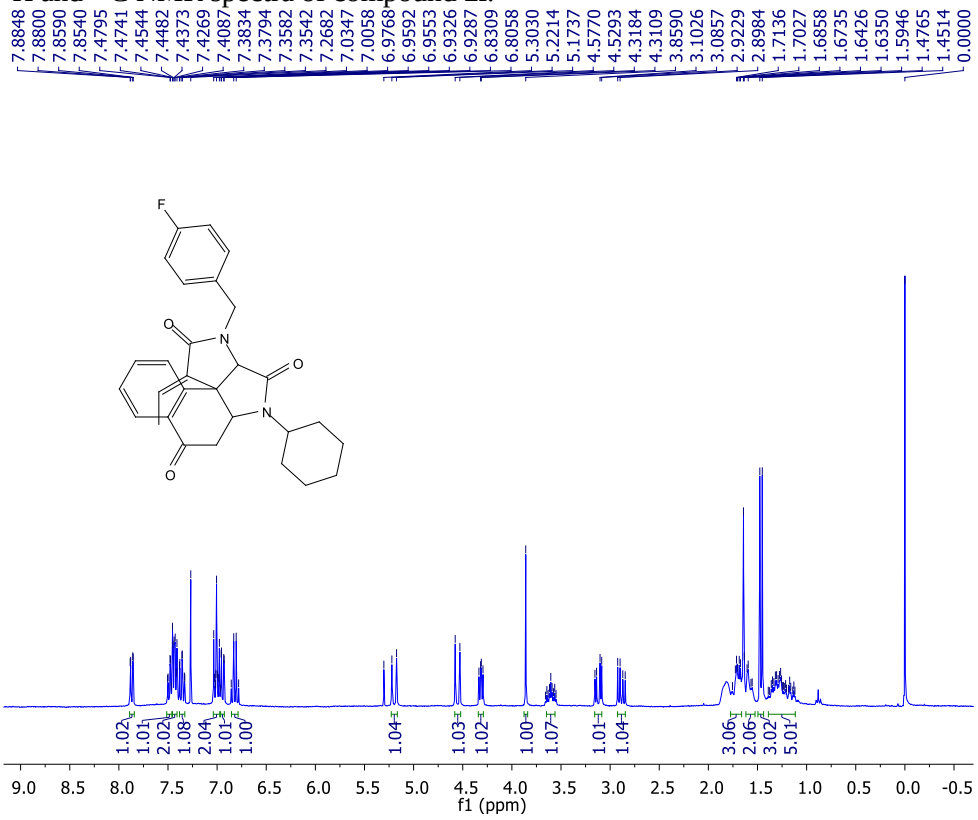
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-07-26T05: 14:00
19	Modification Date	2016-07-26T05: 14:05
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.5
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2i**.



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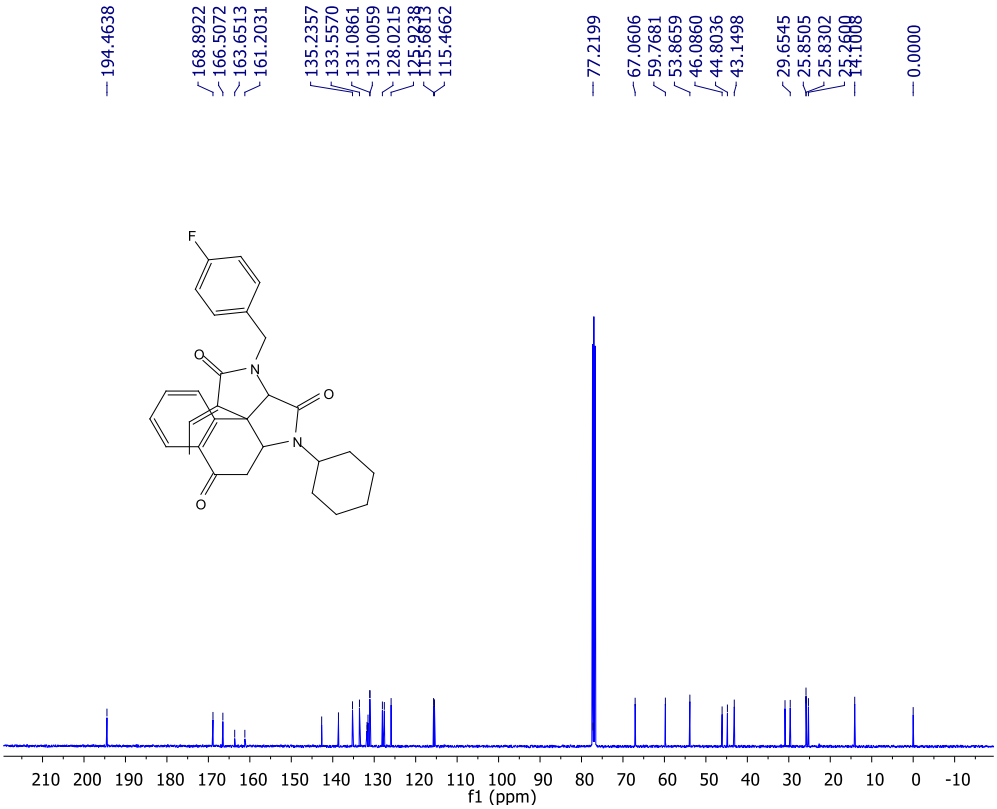
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.7
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	16
14	Receiver Gain	8192
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-10-21T14 :35:00
19	Modification Date	2016-10-21T14 :35:13
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1236.9
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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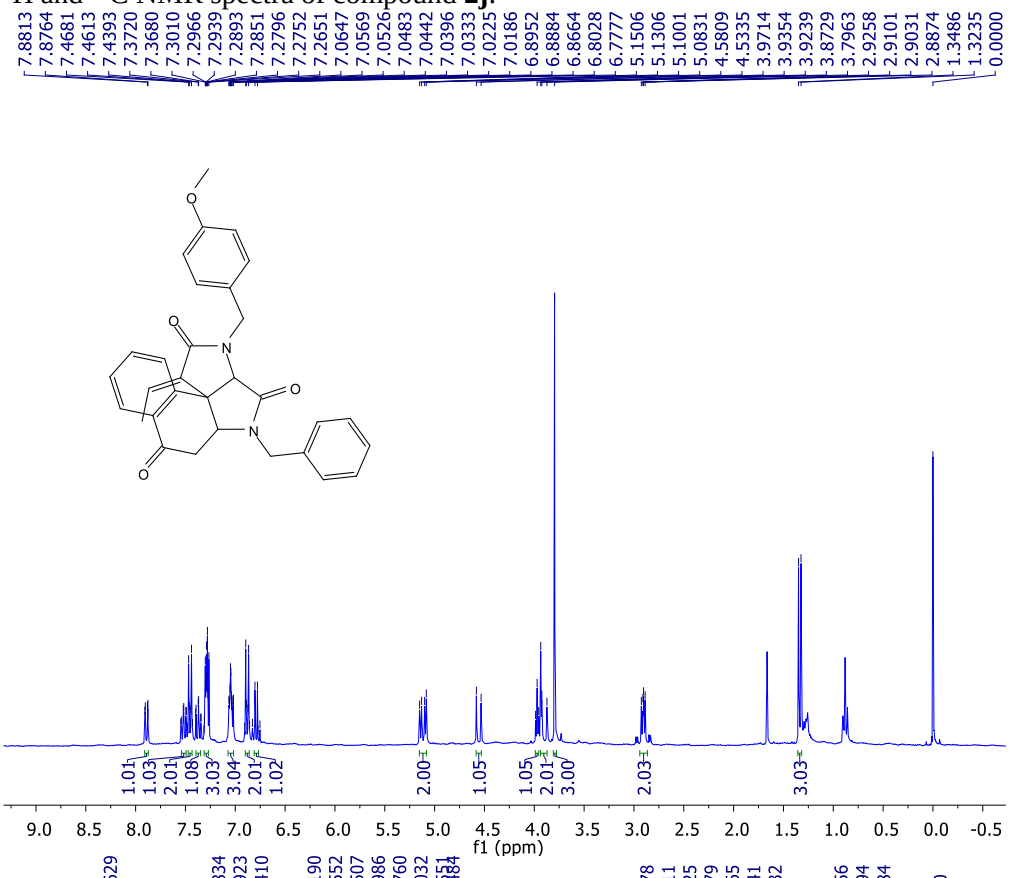
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.9
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-02T01 :14:00
19	Modification Date	2016-11-02T01 :14:37
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1957.9
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **2j**.



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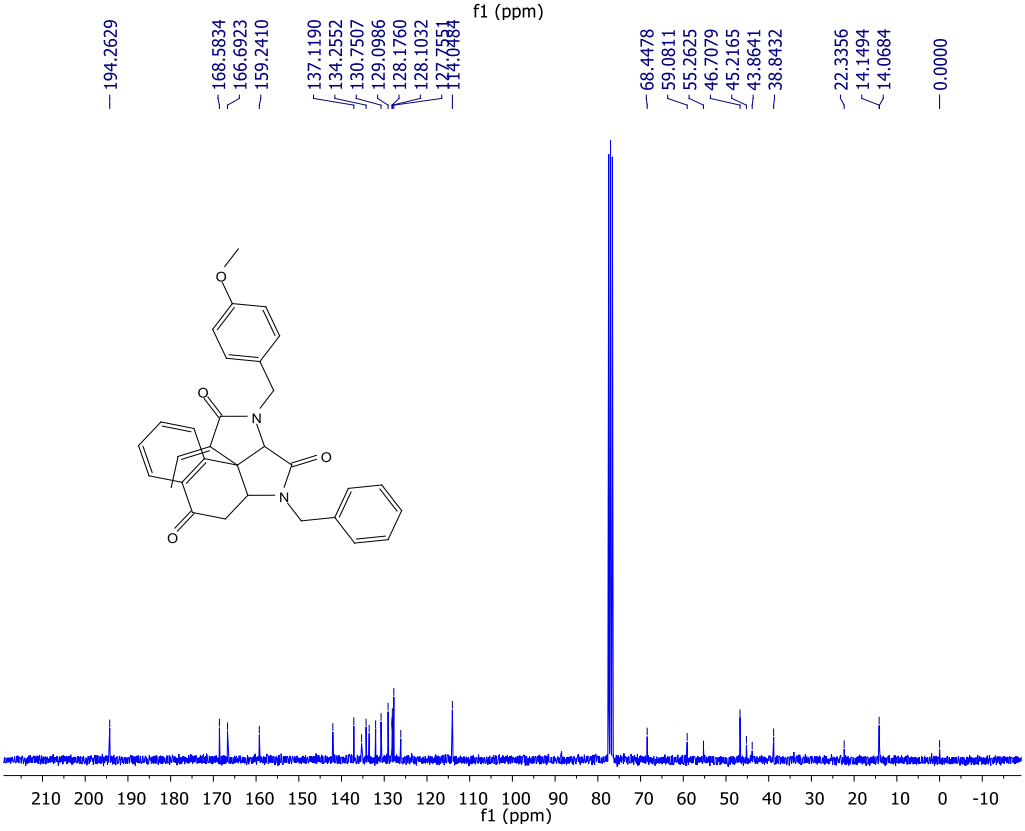
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.2
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	6502
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-09-16T15 :29:00
19	Modification Date	2016-09-16T15 :29:11
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1237.7
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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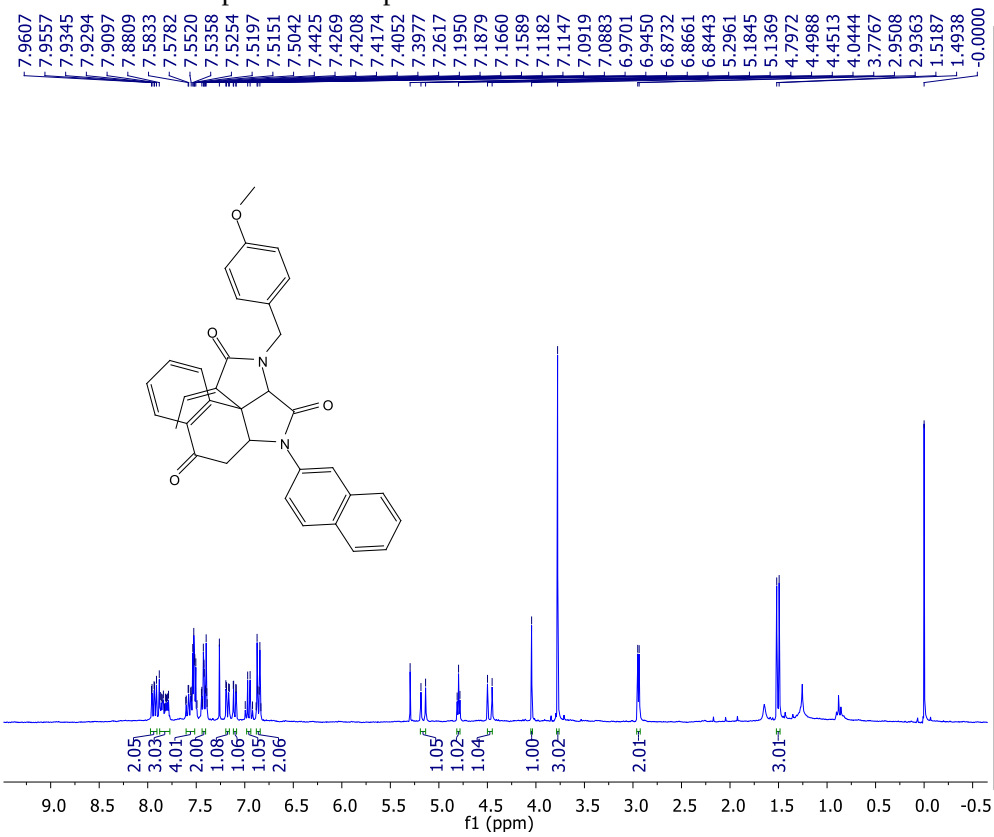
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.8
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2048
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-09-22T00 :34:00
19	Modification Date	2016-09-22T00 :34:13
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1447.0
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2k**.



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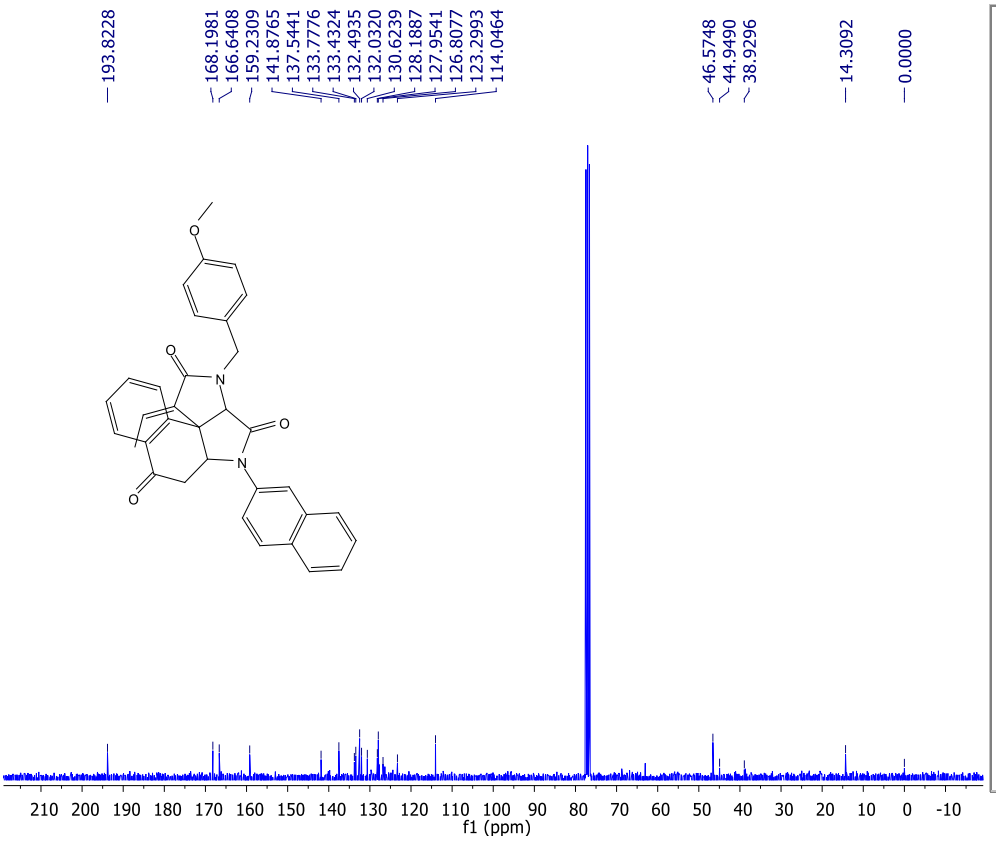
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.9
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	7298
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-09-26T18: 23:00
19	Modification Date	2016-09-26T18: 23:08
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.6
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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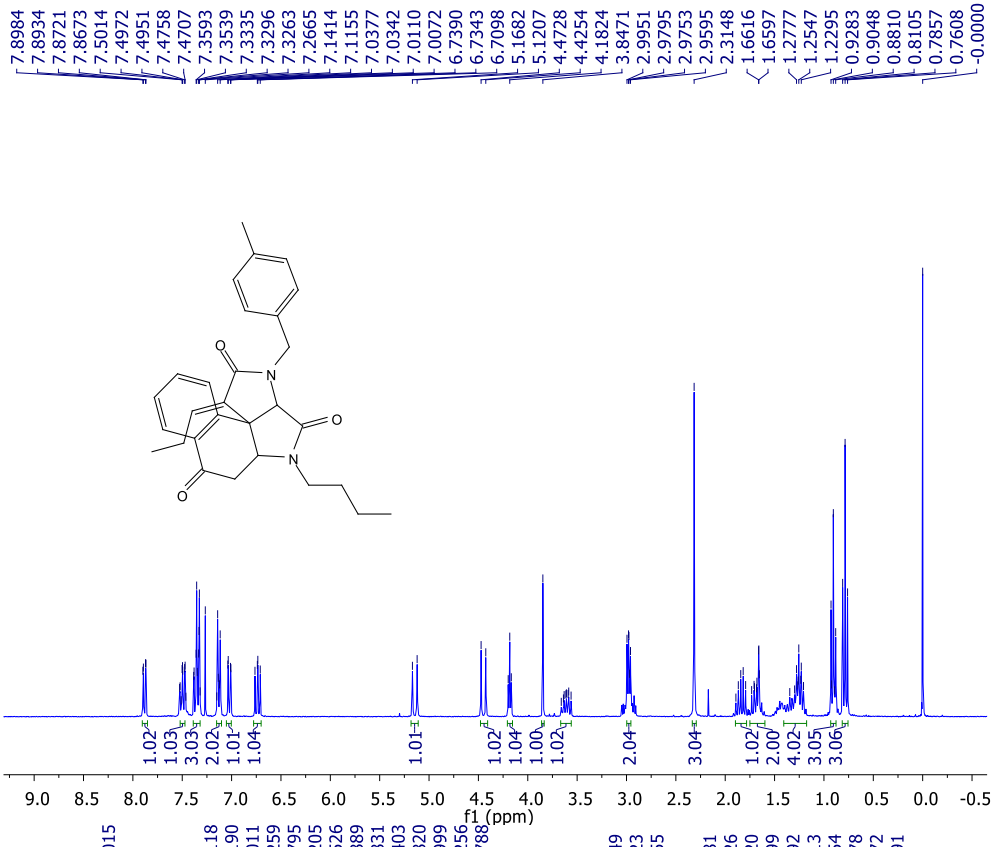
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.5
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-10-02T03 :00:00
19	Modification Date	2016-10-02T03 :00:56
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1447.9
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2l**.



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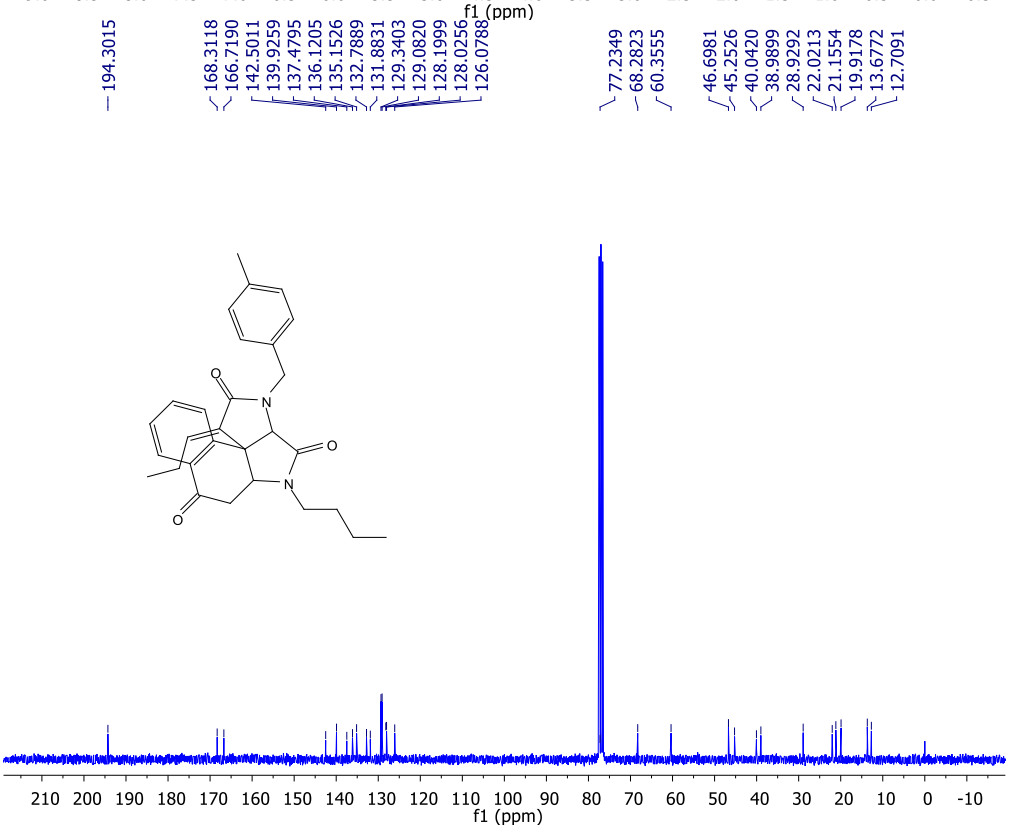
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.4
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	575
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-07-29T17 :58:00
19	Modification Date	2016-07-29T17 :58:20
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1237.3
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
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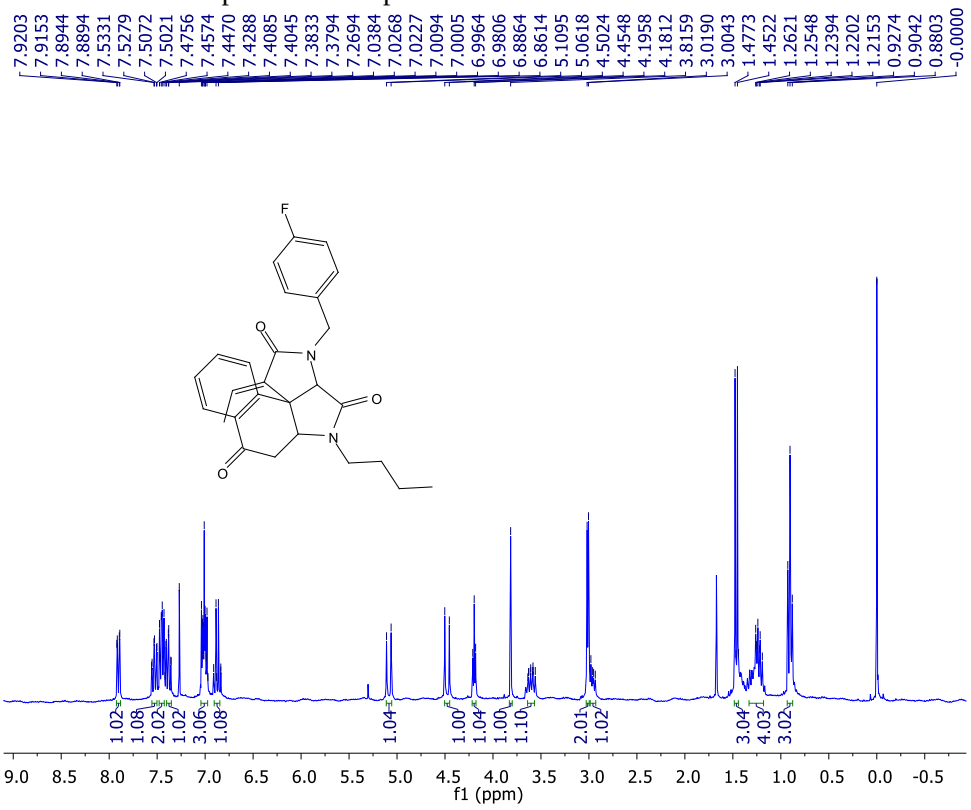
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-08-02T01: 42:00
19	Modification Date	2016-08-02T01: 42:51
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.5
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2m**.



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3	Comment	
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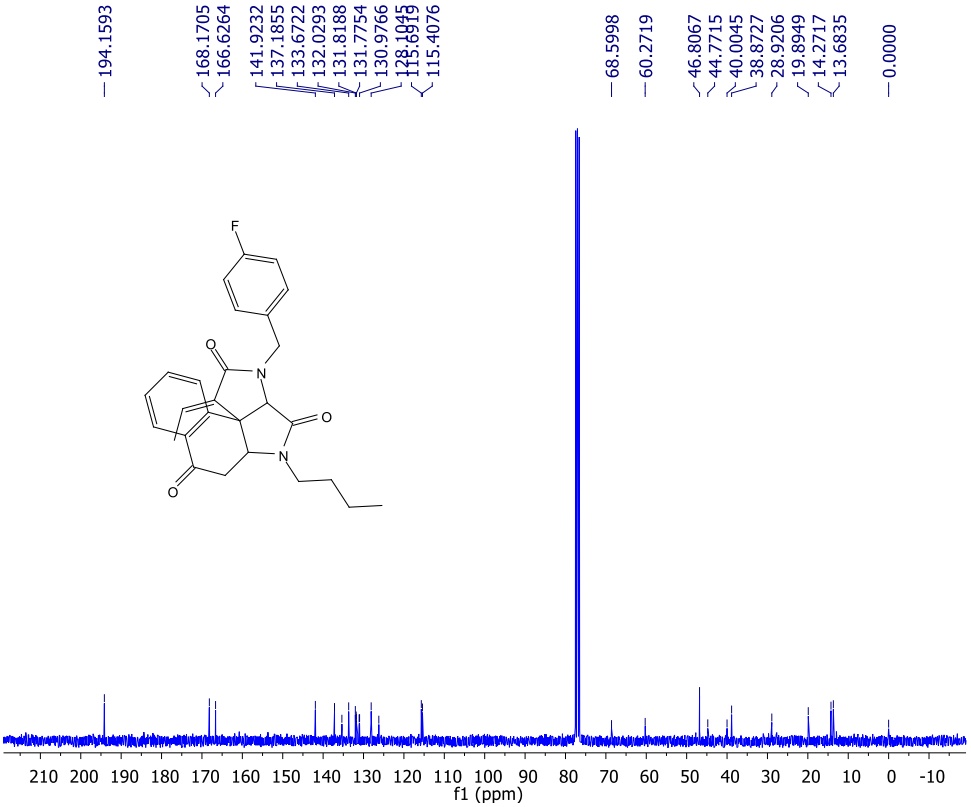
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	7298
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-09-21T16:49:00
19	Modification Date	2016-09-21T16:49:46
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1236.2
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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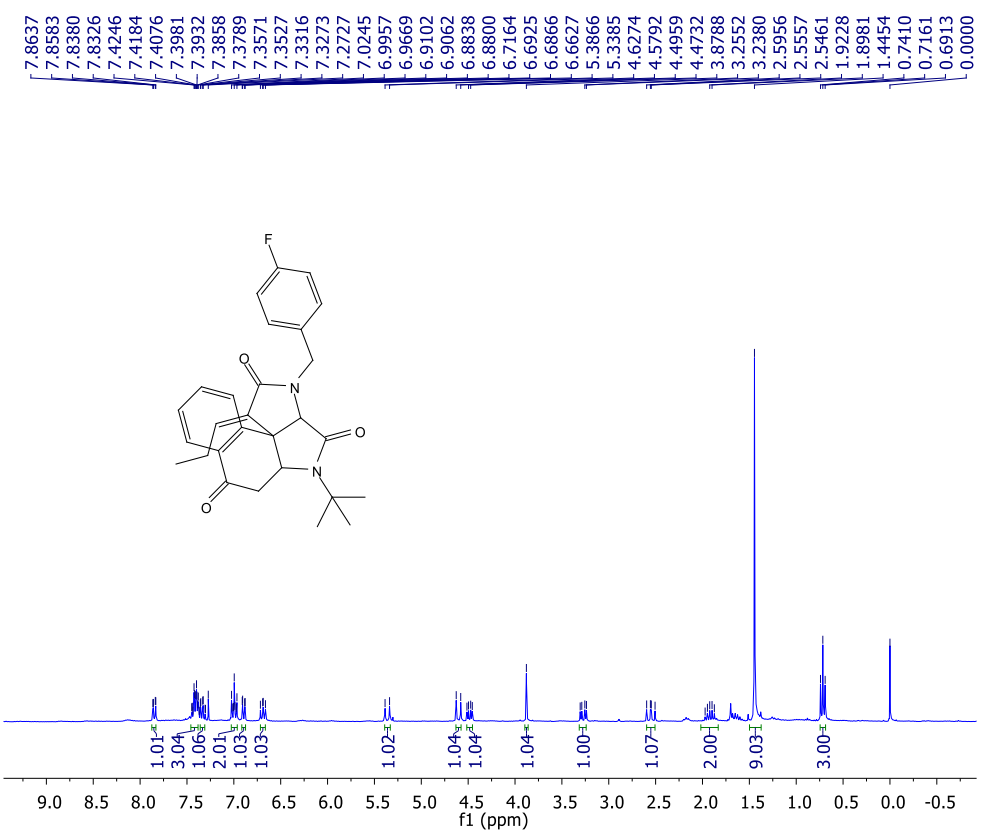
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.7
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-09-27T02:17:00
19	Modification Date	2016-09-27T02:17:21
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.8
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2n**.



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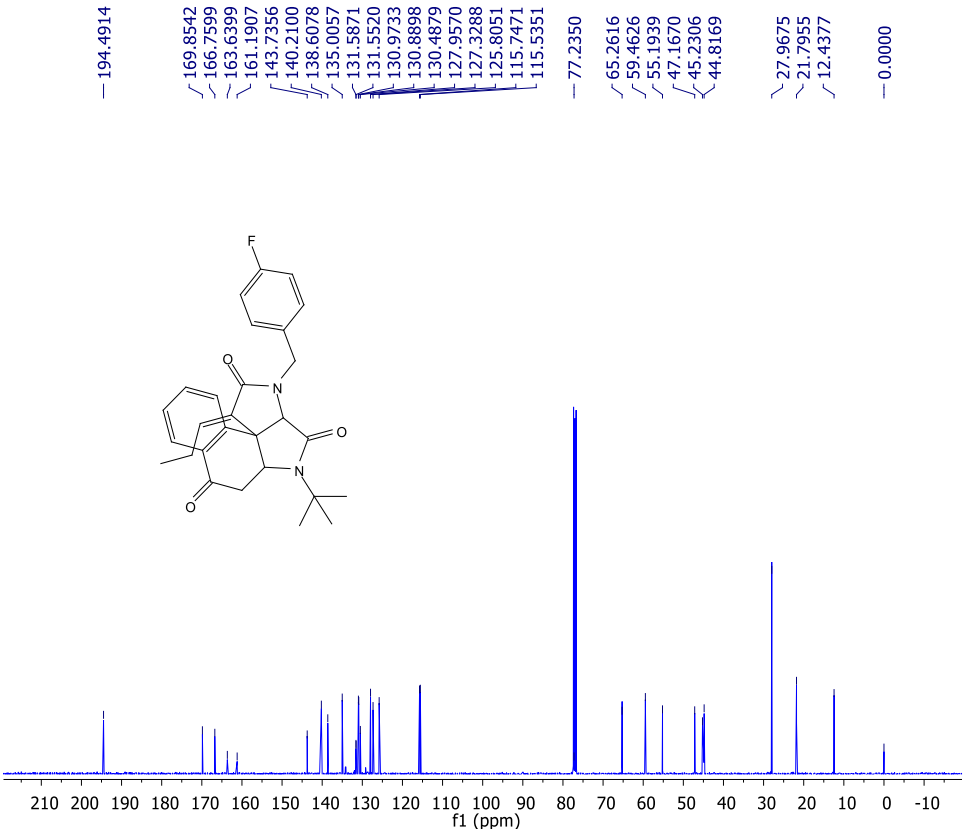
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-10-10T10:43:00
19	Modification Date	2016-10-10T10:44:04
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1235.8
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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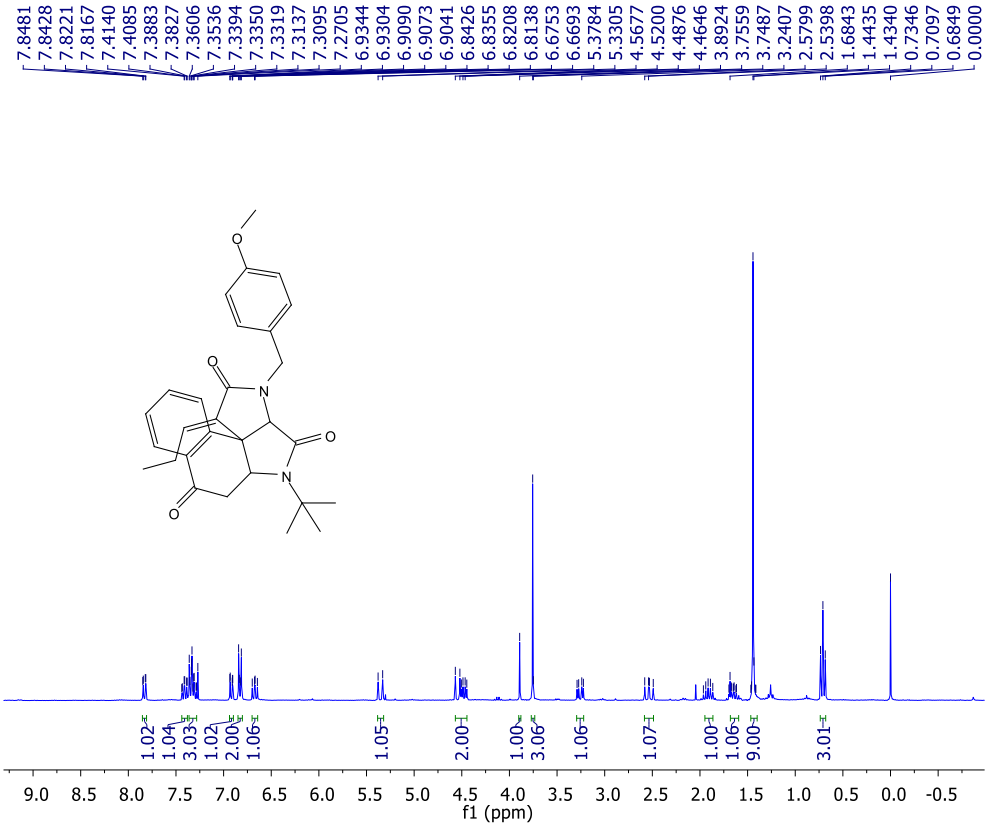
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.4
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	717
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-08T07:56:00
19	Modification Date	2016-11-08T07:56:54
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1957.3
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **2o**.



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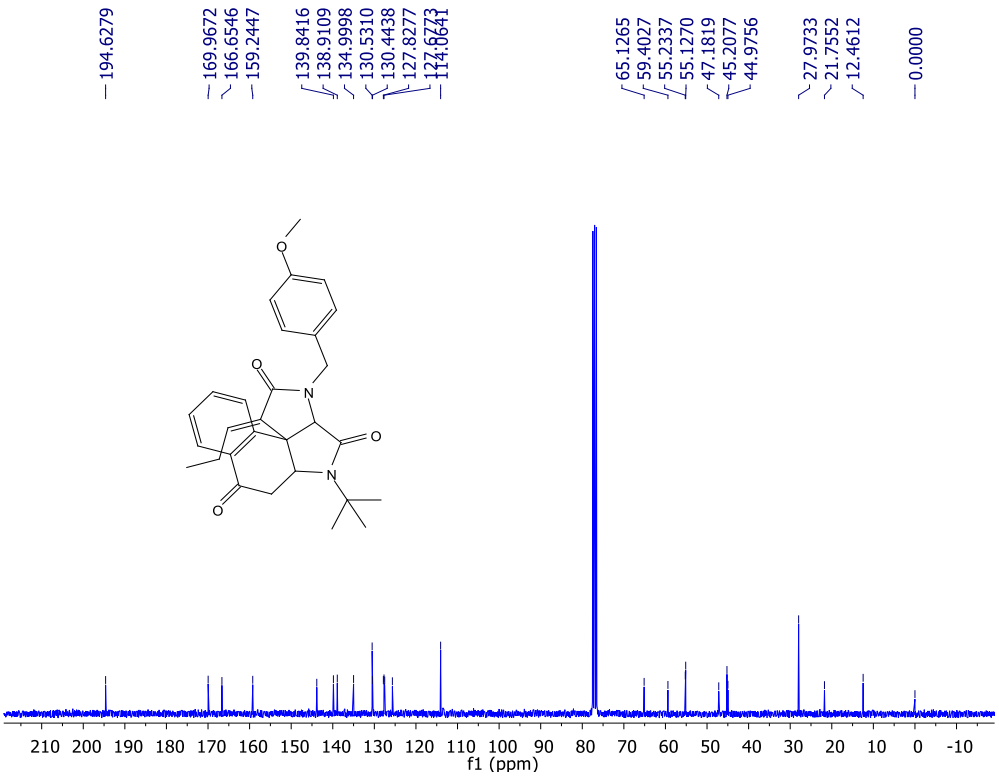
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.3
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	3251
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-06-28T07:27:00
19	Modification Date	2016-06-28T07:28:02
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1236.1
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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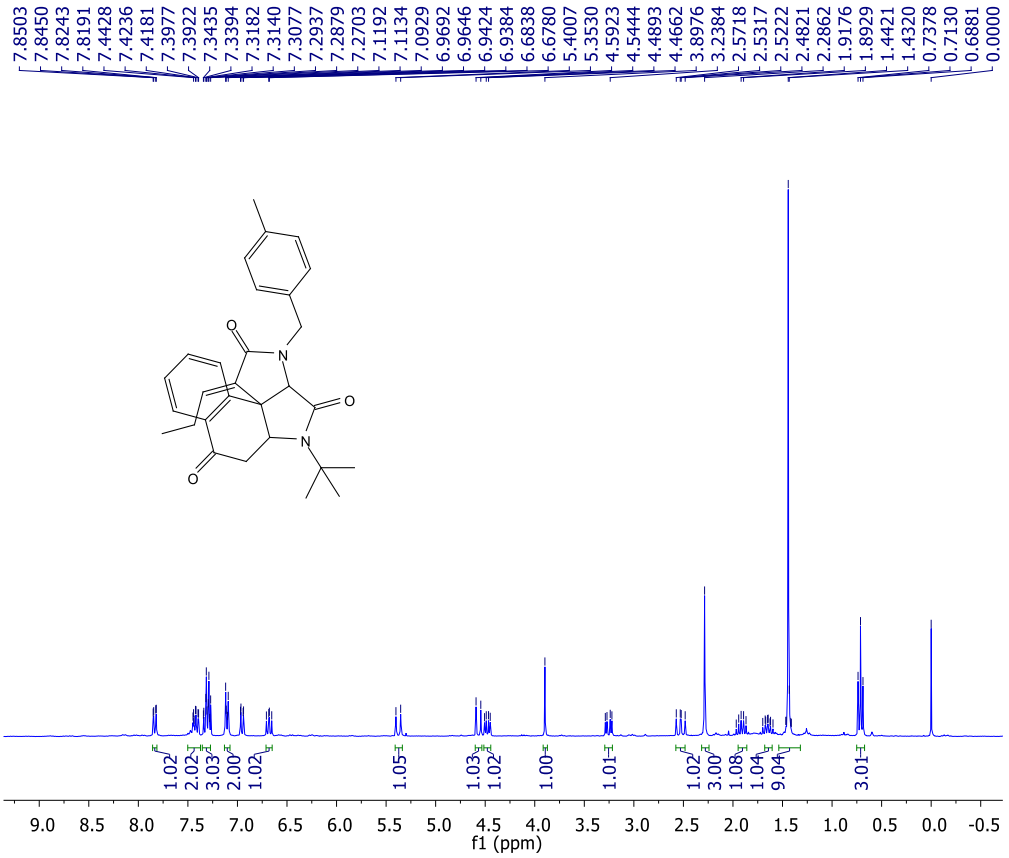
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.2
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-06-29T02:01:00
19	Modification Date	2016-06-29T02:01:09
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.5
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2p.**



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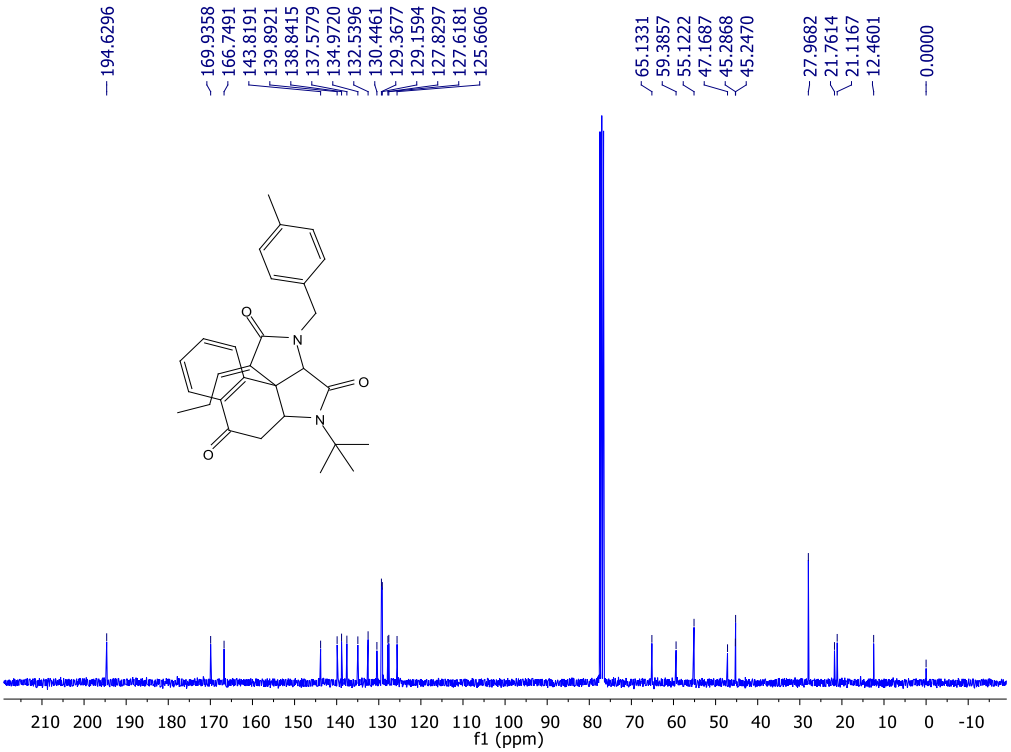
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.1
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	3251
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-07-25T14:19:00
19	Modification Date	2016-07-25T14:19:08
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1236.2
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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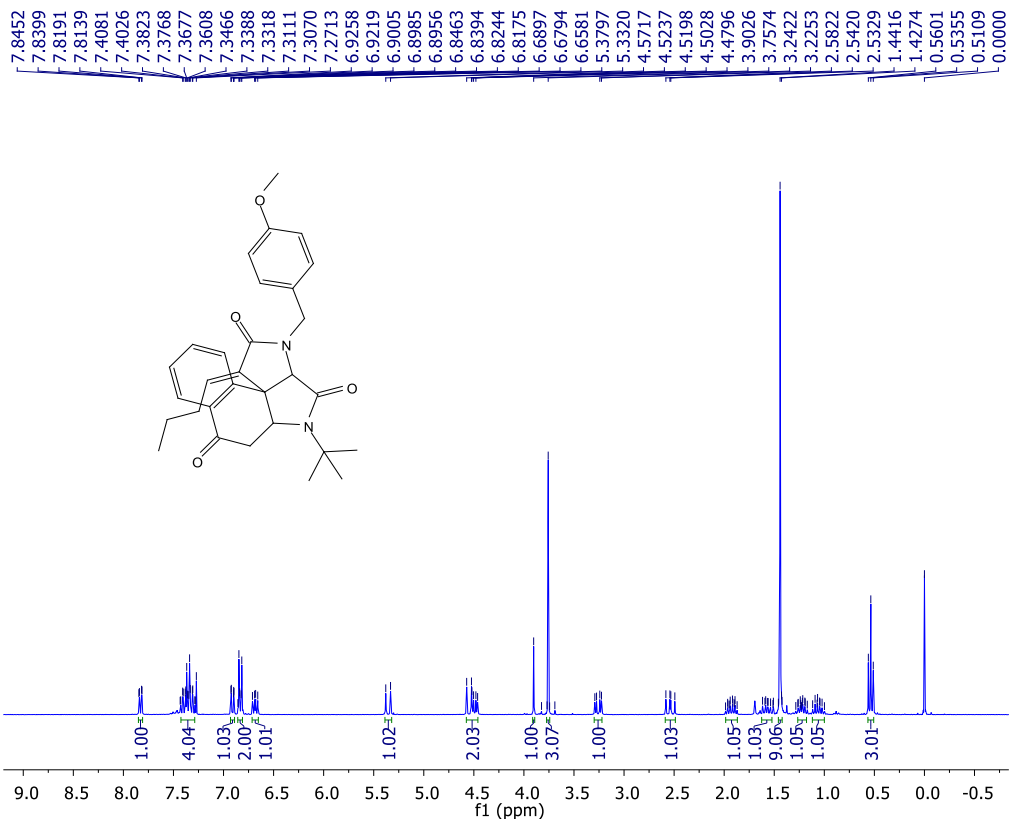
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.1
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-07-26T03:07:00
19	Modification Date	2016-07-26T03:07:56
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.5
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2q.**



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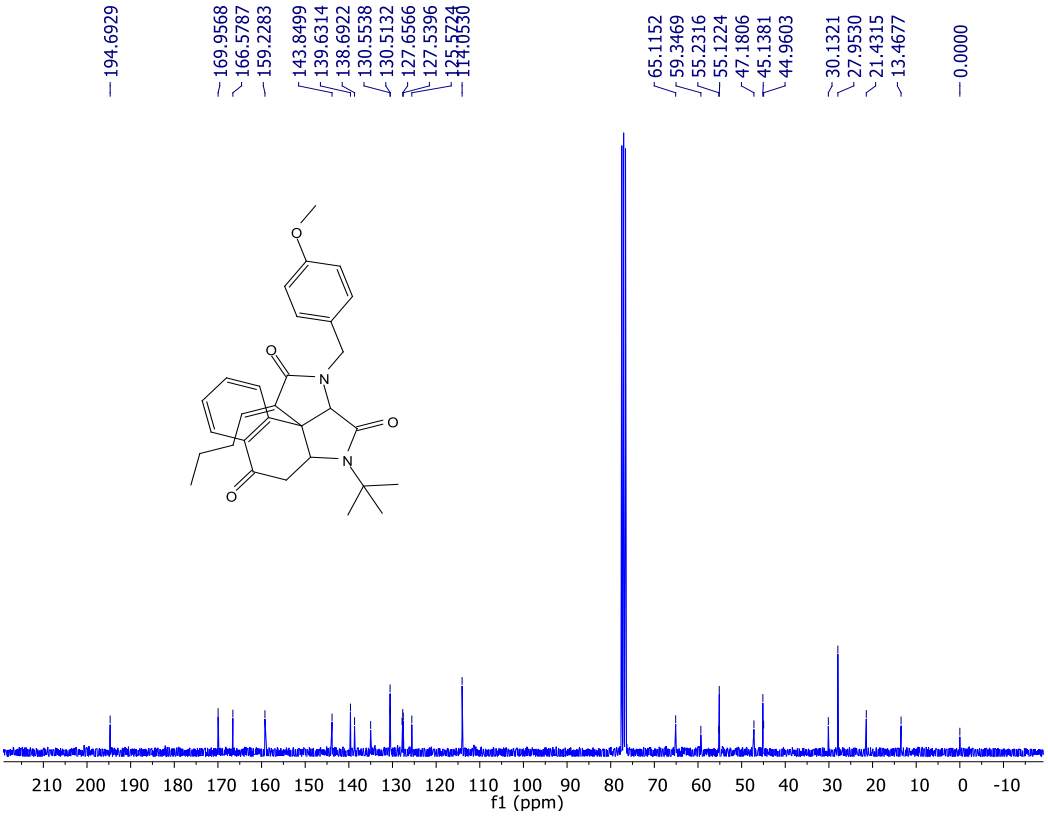
2	Title	EVDE_YH_017_R67
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	575
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-09-13T16:49:00
19	Modification Date	2016-09-13T16:49:23
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1235.8
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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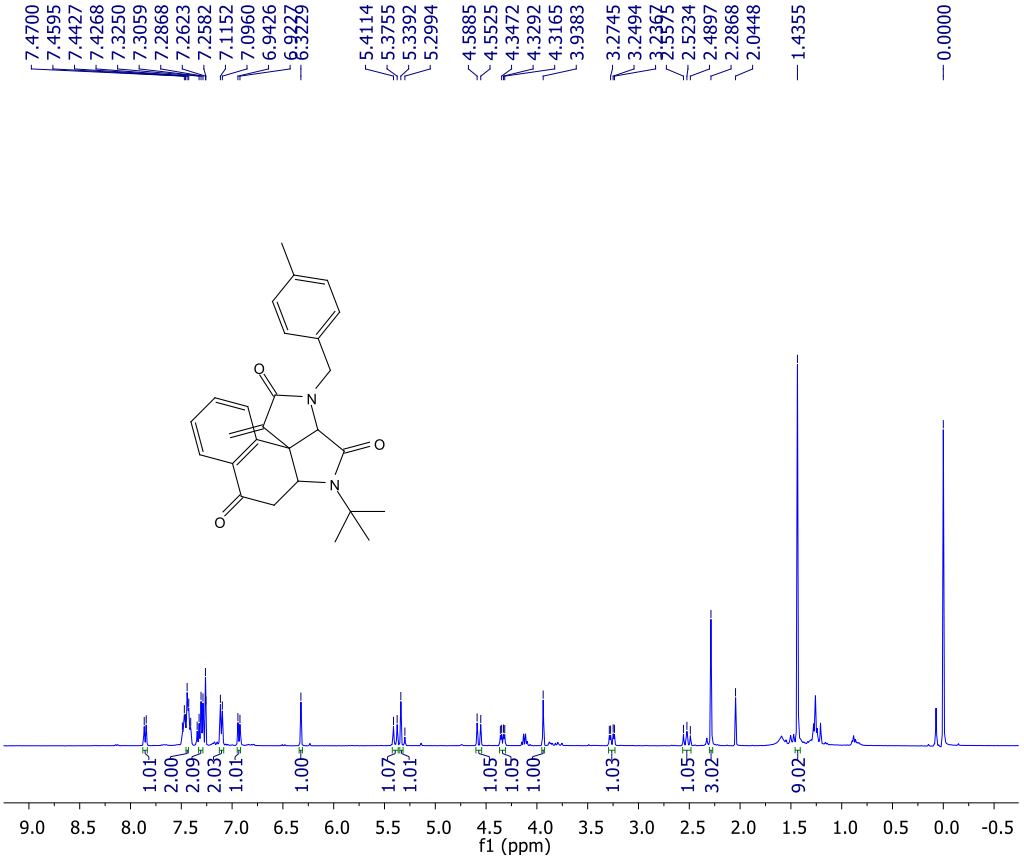
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.7
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	1750
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-09-14T05:39:00
19	Modification Date	2016-09-14T05:39:44
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.9
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2r**.



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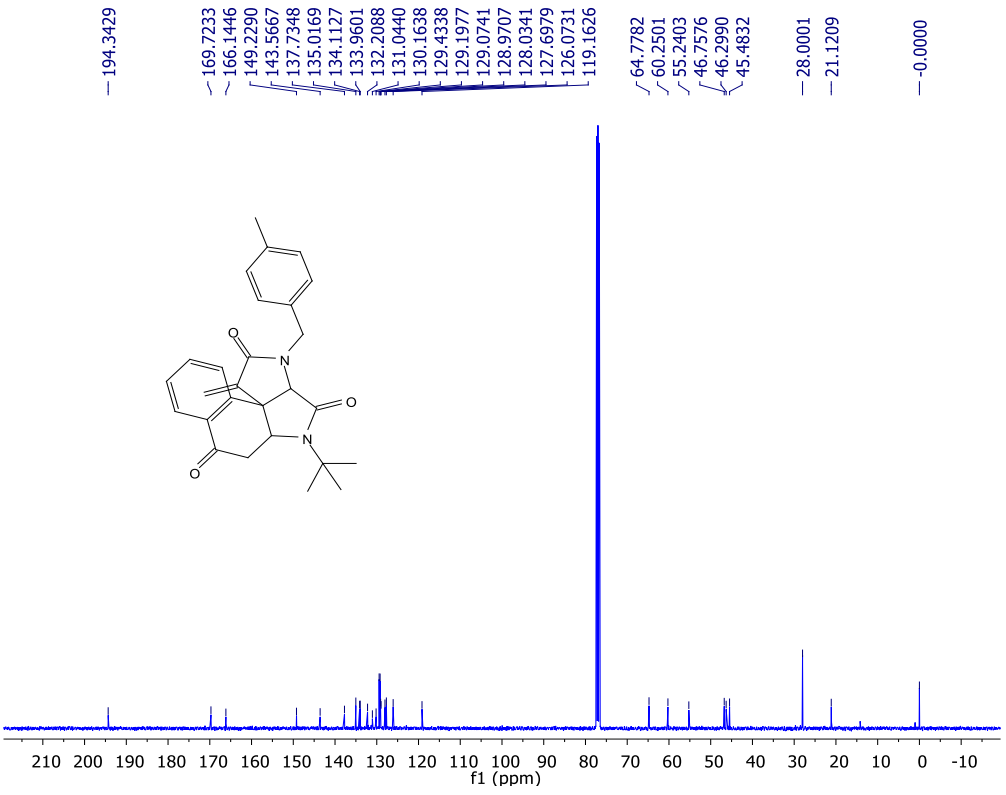
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.1
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	16
14	Receiver Gain	127
15	Relaxation Delay	1.0000
16	Pulse Width	9.7500
17	Acquisition Time	3.2768
18	Acquisition Date	2016-08-01T10:53:00
19	Modification Date	2016-08-01T10:53:12
20	Spectrometer Frequency	400.17
21	Spectral Width	10000.0
22	Lowest Frequency	-2537.8
23	Nucleus	1H
24	Acquired Size	32768
25	Spectral Size	65536



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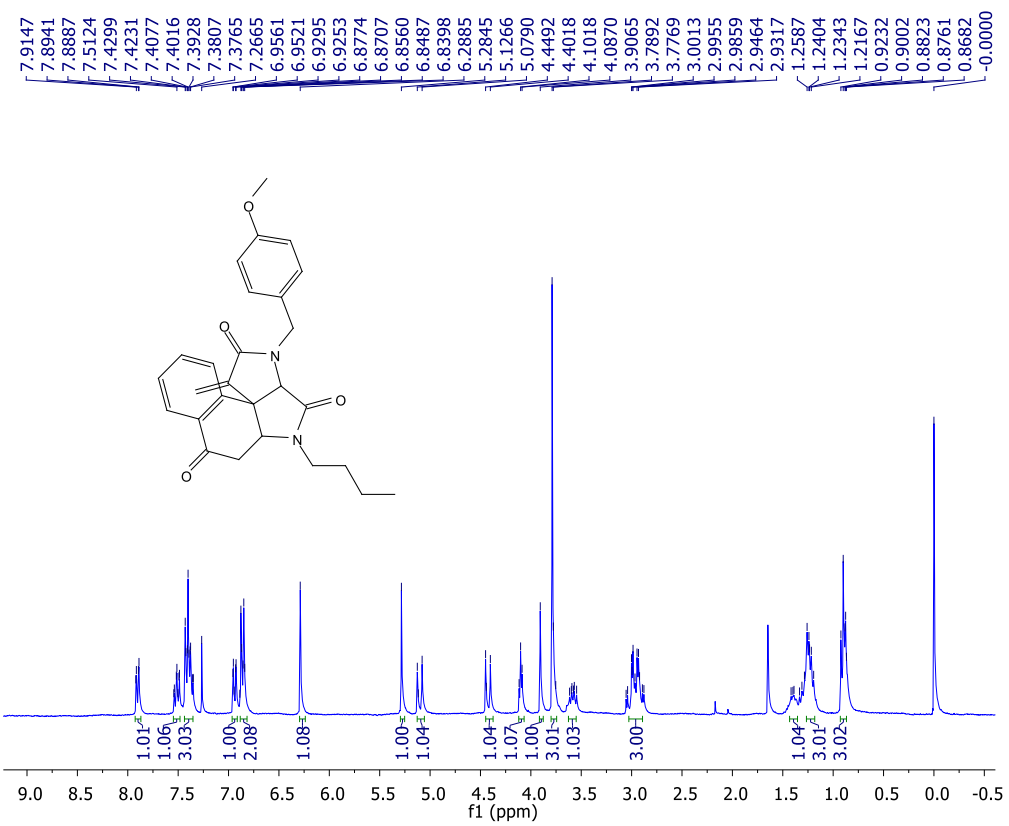
2	Title	EVDE-YH-017-R52-C-night
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.5
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2560
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-08-02T05:43:00
19	Modification Date	2016-08-02T05:43:15
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1957.9
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **2s**.



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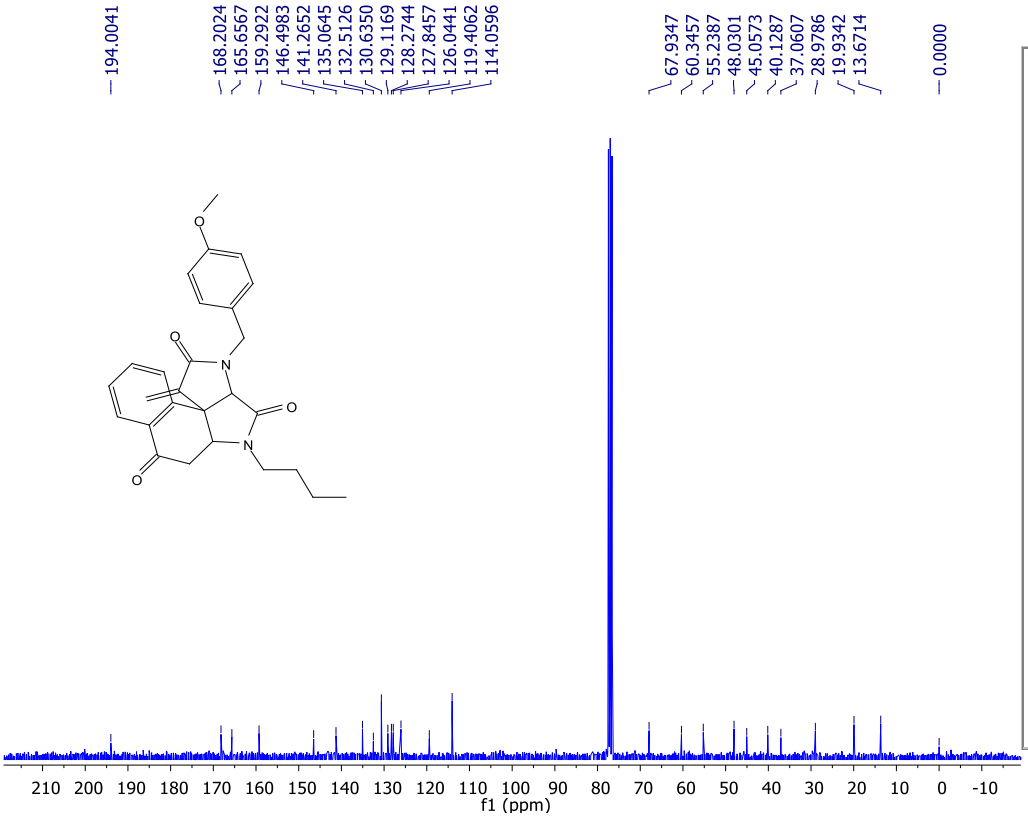
2	Title	EVDE_YH_017_R53
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.5
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-07-29T17:44:00
19	Modification Date	2016-07-29T17:44:56
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1237.7
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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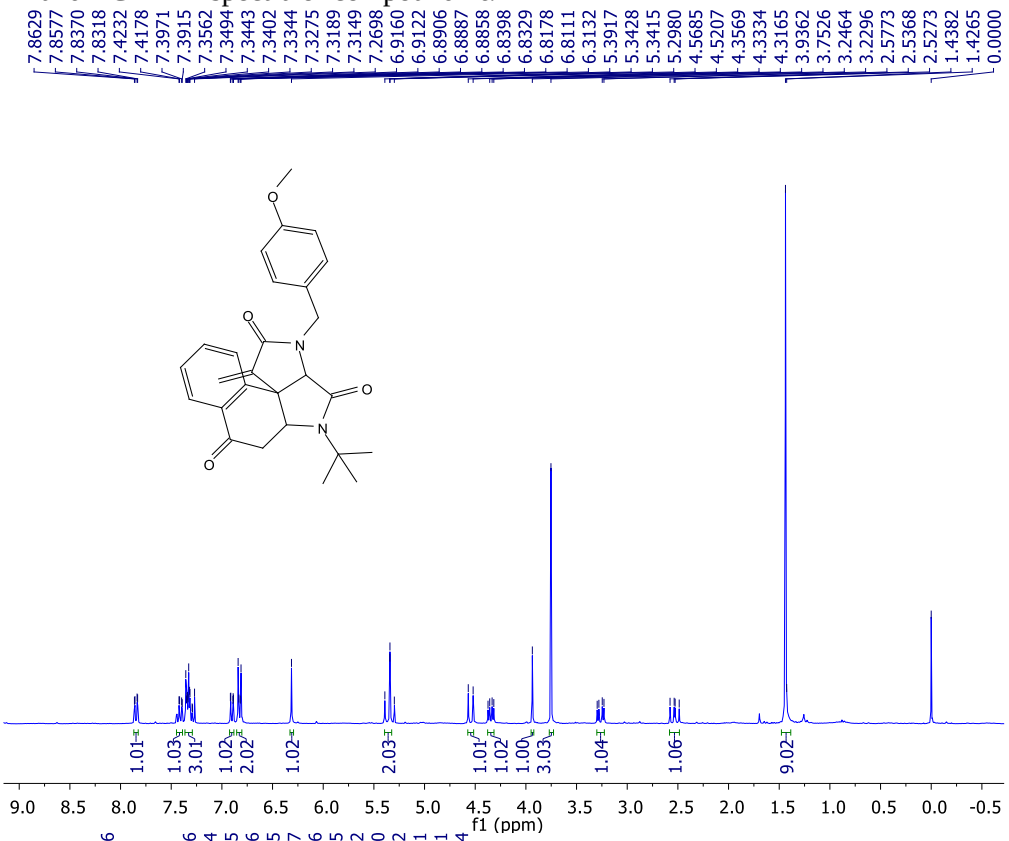
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.4
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-08-01T23:27:00
19	Modification Date	2016-08-01T23:27:21
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1445.6
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **2t**.



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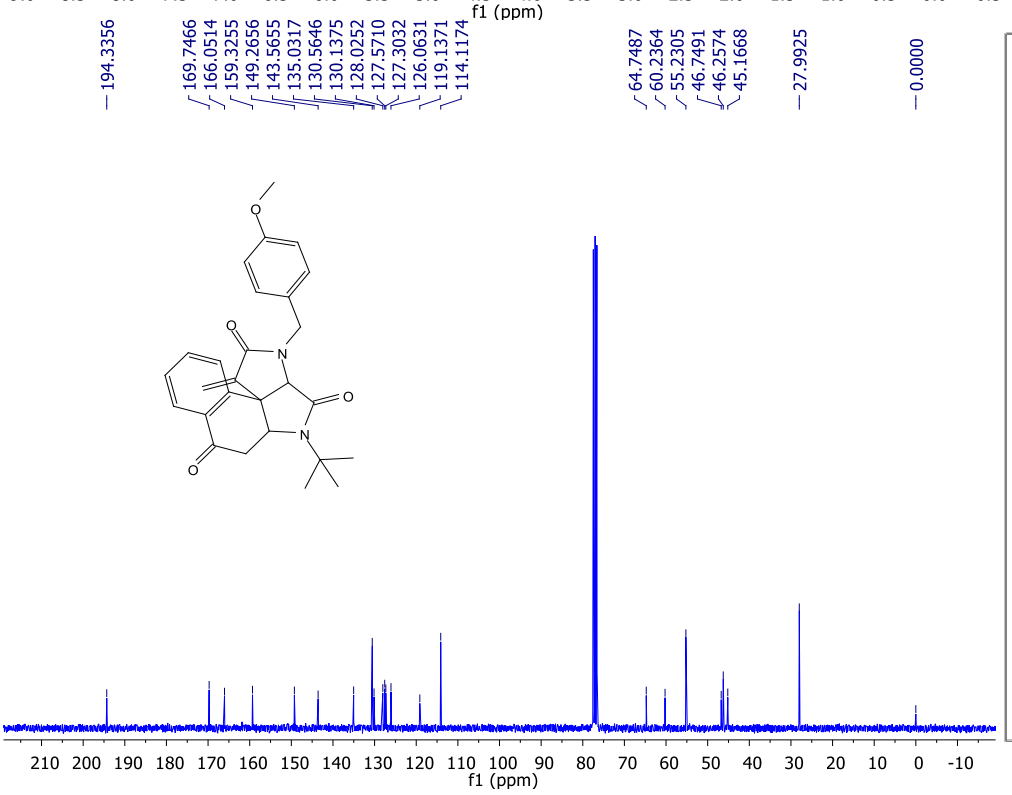
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.9
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	3251
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-07-18T14 :46:00
19	Modification Date	2016-07-18T14 :47:04
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1236.3
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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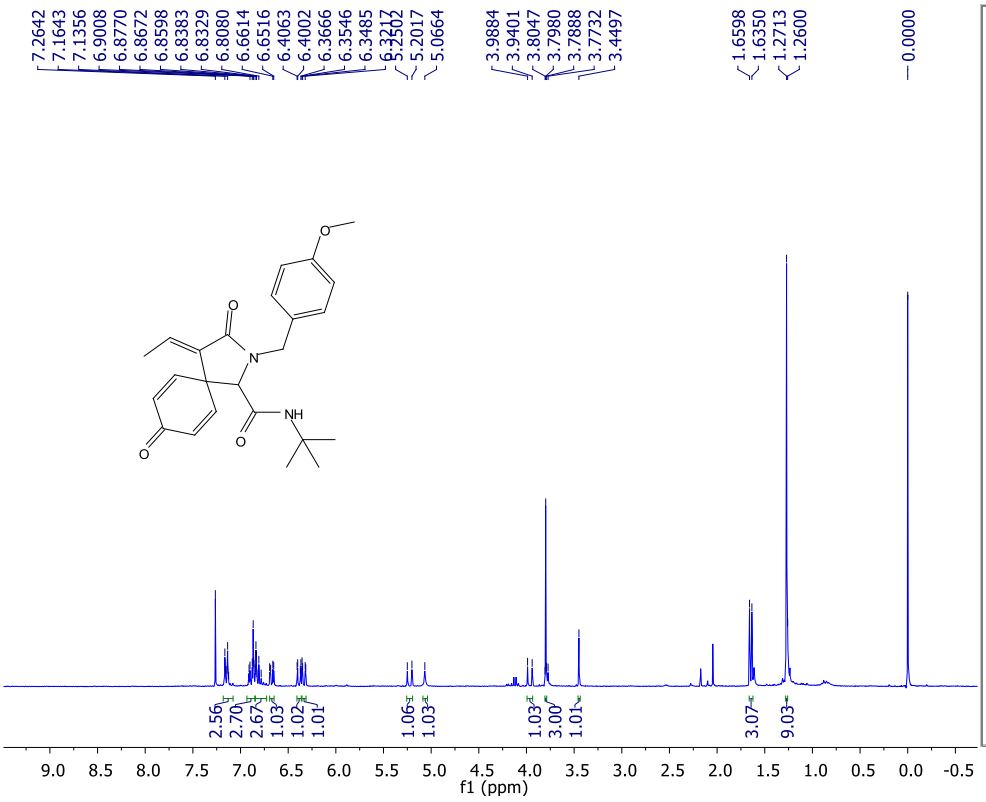
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.8
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-07-18T23: 54:00
19	Modification Date	2016-07-18T23: 54:51
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.5
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **3u.**



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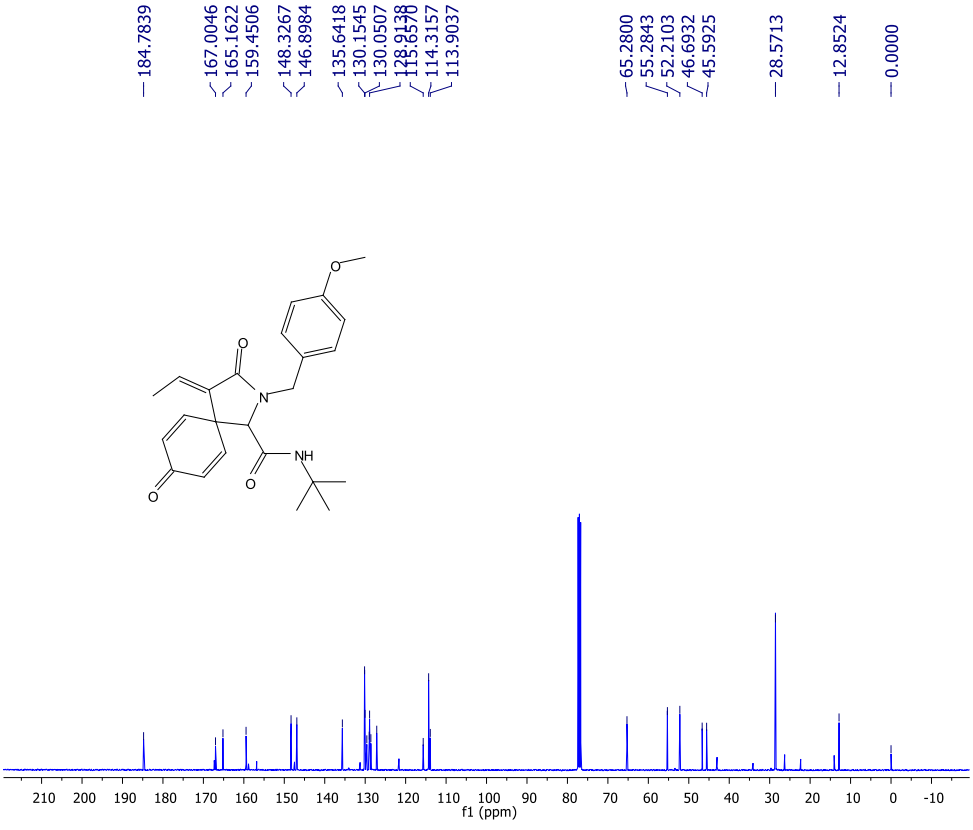
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.8
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-04-24T04 :20:00
19	Modification Date	2016-04-24T04 :21:06
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.3
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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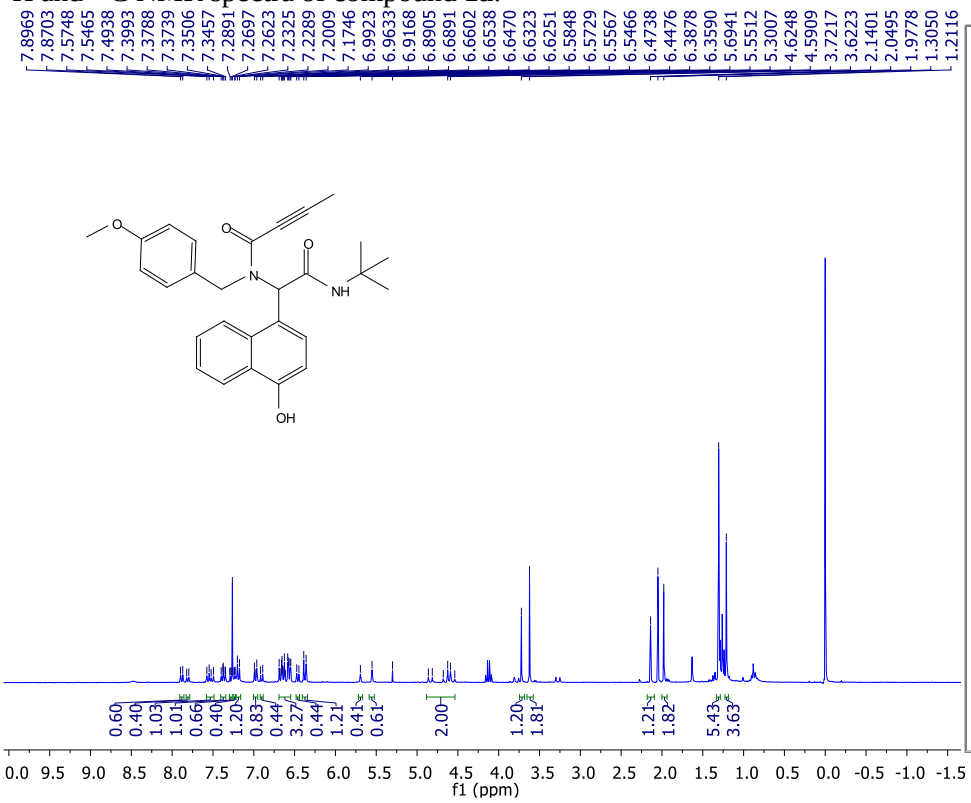
2	Title	EVDE-YH-017-R20-C
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2017-01-10T2 1:01:00
19	Modification Date	2017-01-10T2 1:01:11
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1957.9
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

Copies of NMR spectra (Ugi products)

^{1}H and ^{13}C NMR spectra of compound **1a**.



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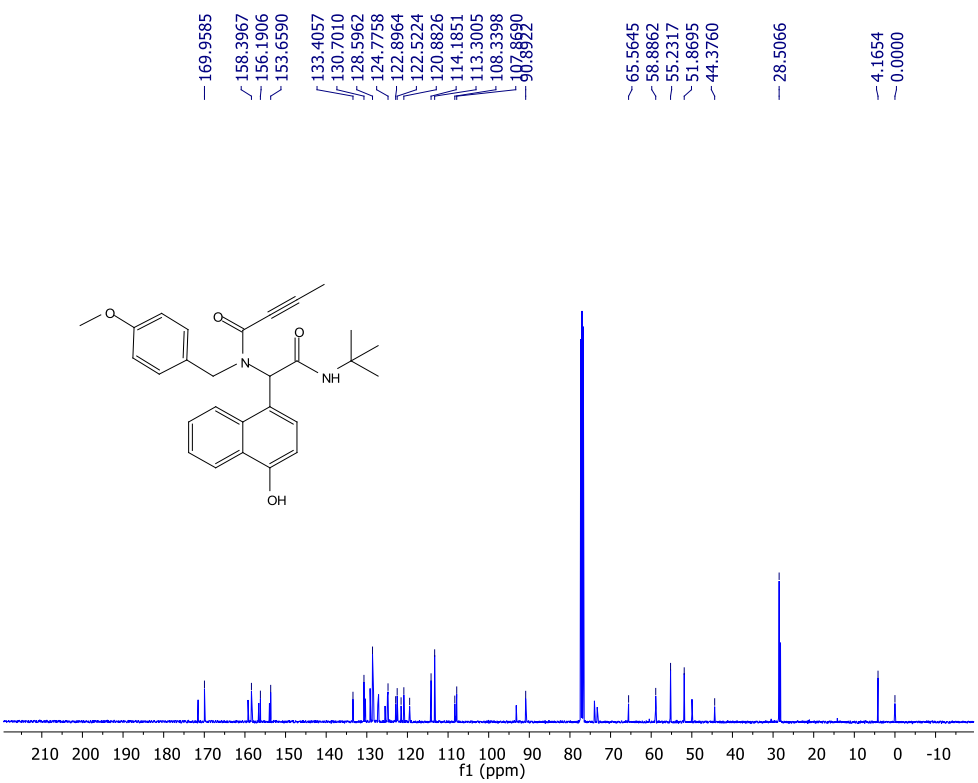
2	Title	EVDE_ZYP_017 _R(21_31)
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	16
14	Receiver Gain	5161
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-04-04T18: 32:00
19	Modification Date	2016-04-04T18: 33:08
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.9
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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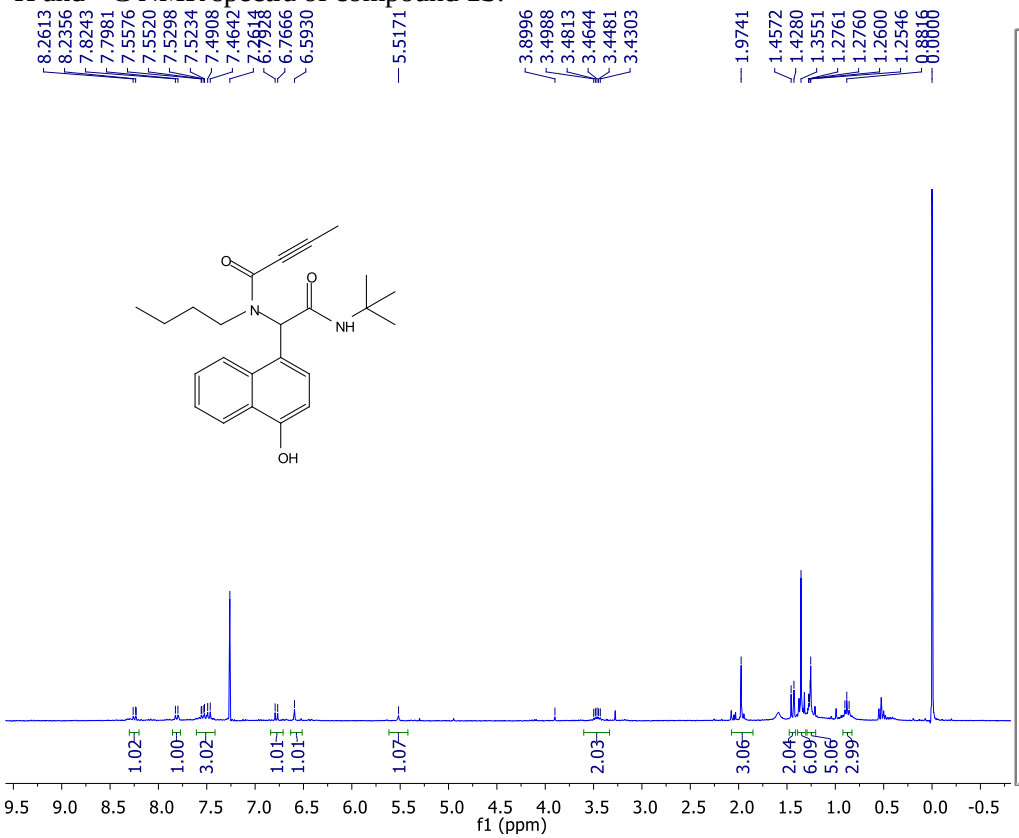
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2460
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-22T0 8:01:00
19	Modification Date	2016-11-22T0 8:02:00
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.4
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1b**.



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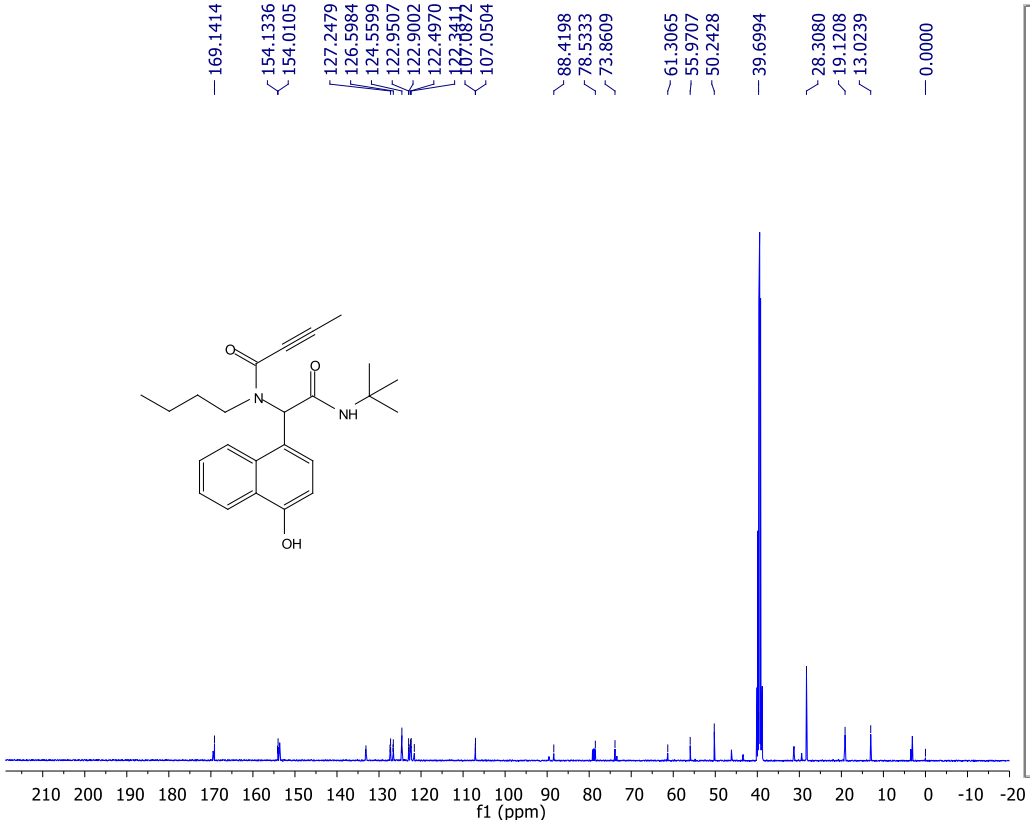
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.7
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	9195
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-06-27T17: 03:00
19	Modification Date	2016-06-27T17: 03:39
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1239.0
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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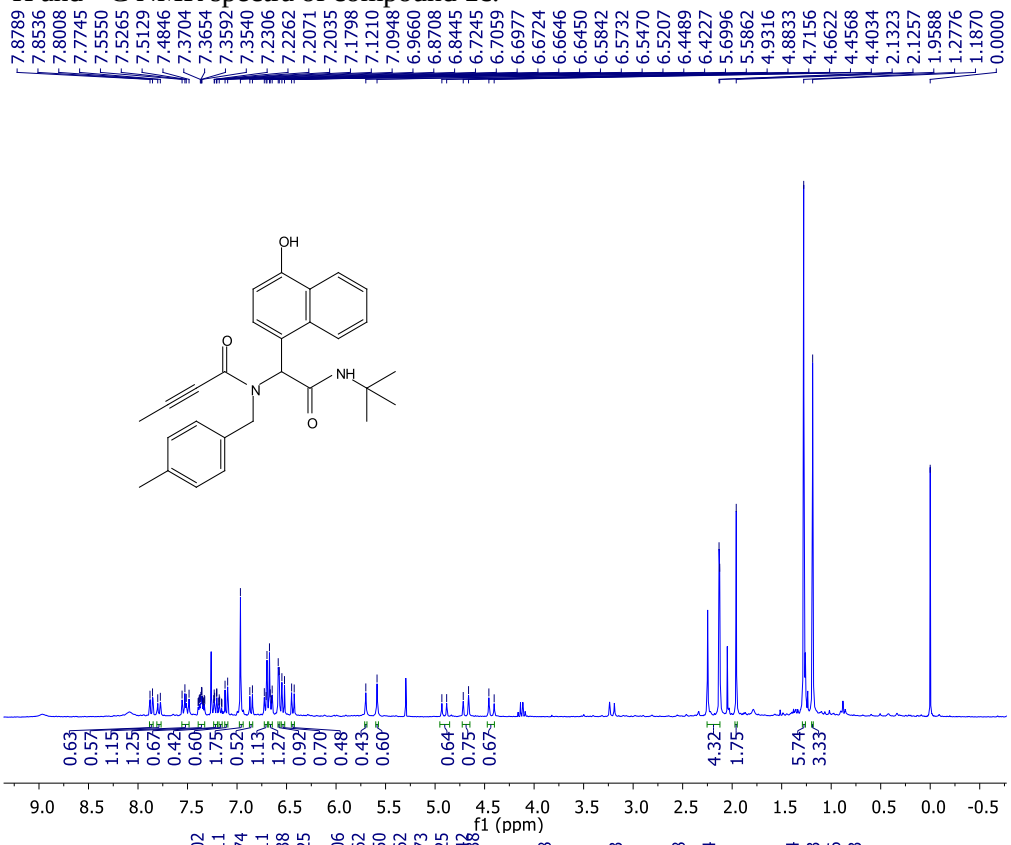
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	4096
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-24T01 :56:00
19	Modification Date	2016-11-24T01 :56:14
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-2014.4
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1c**.



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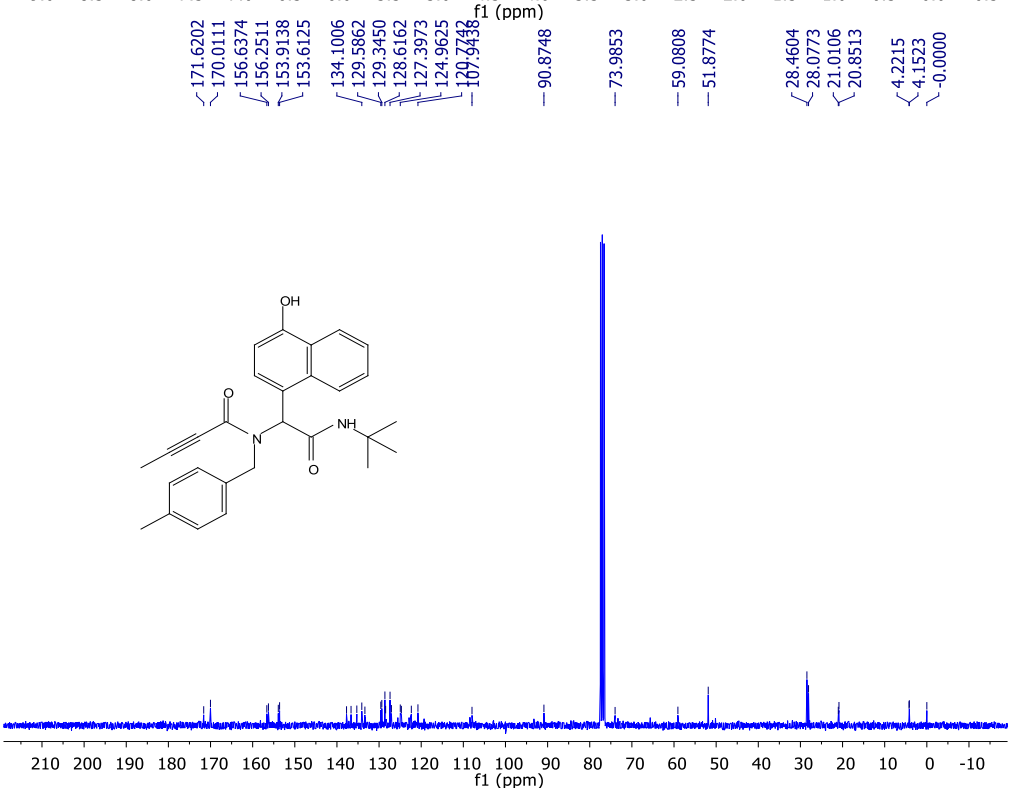
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	3649
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-07-04T17 :07:00
19	Modification Date	2016-07-04T17 :07:41
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.8
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.5
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-07-04T21 :35:00
19	Modification Date	2016-07-04T21 :35:54
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
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23	Nucleus	13C
24	Acquired Size	32768
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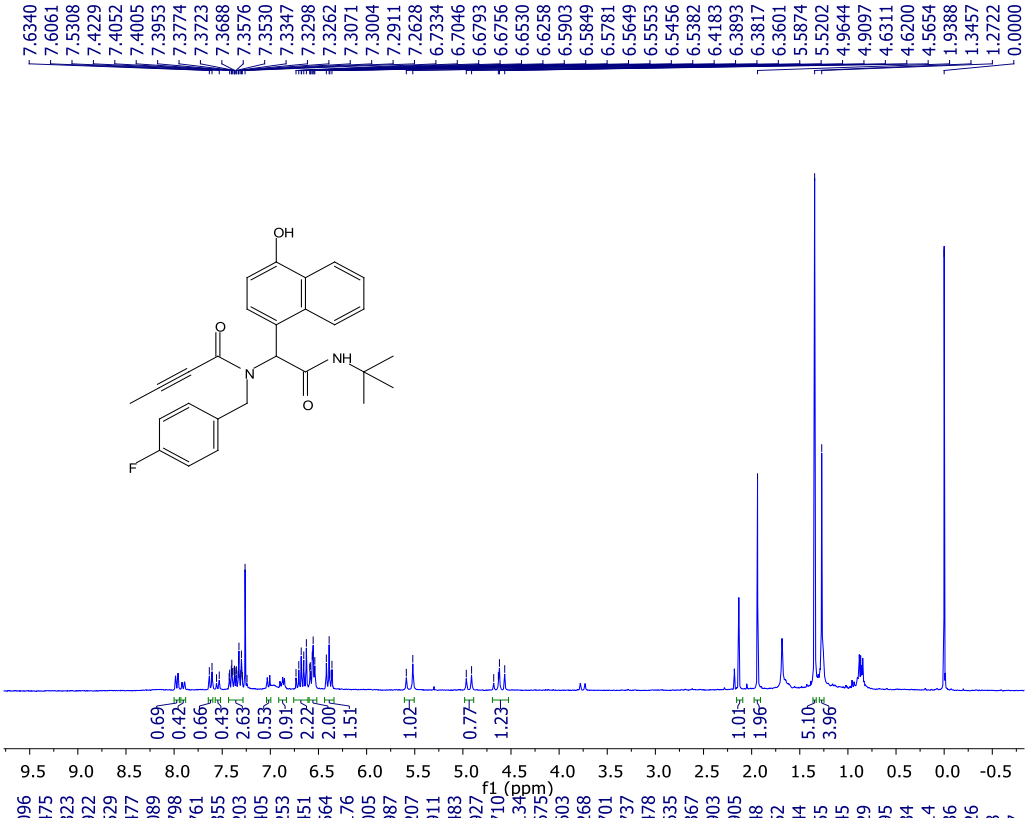
^{1}H and ^{13}C NMR spectra of compound **1d**.



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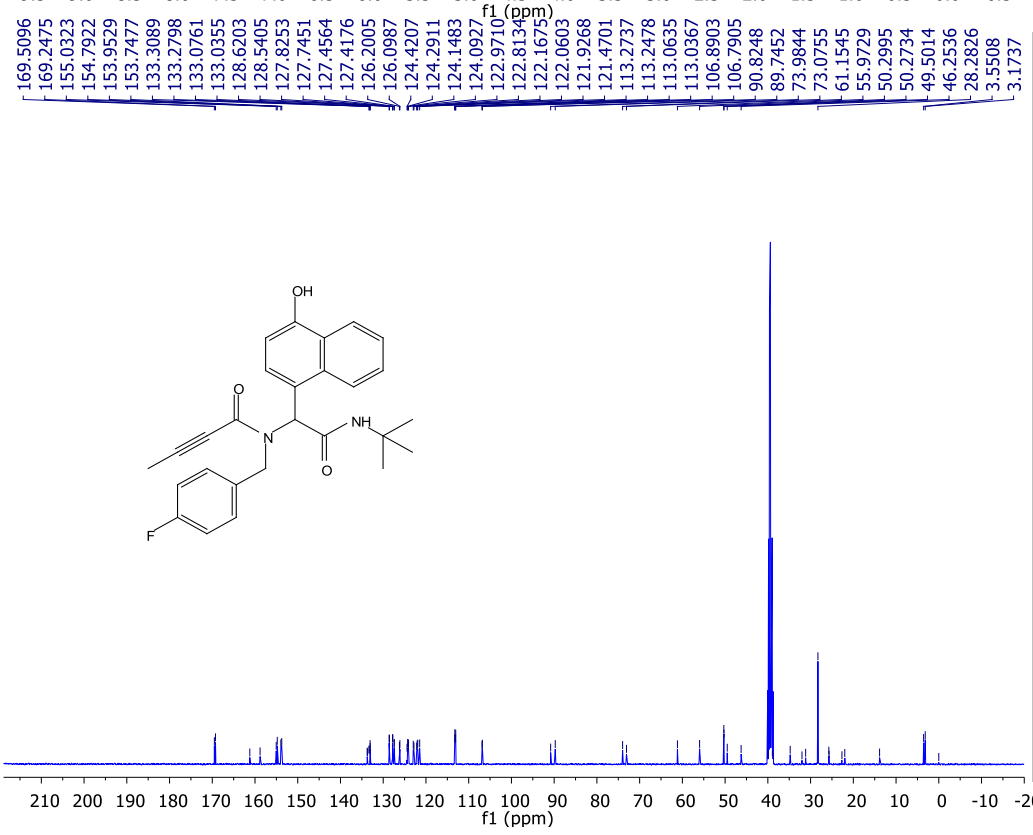
2	Title	EVDE_YH_017_R56
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.7
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	6502
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-08-29T15:12:00
19	Modification Date	2016-08-29T15:12:13
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.4
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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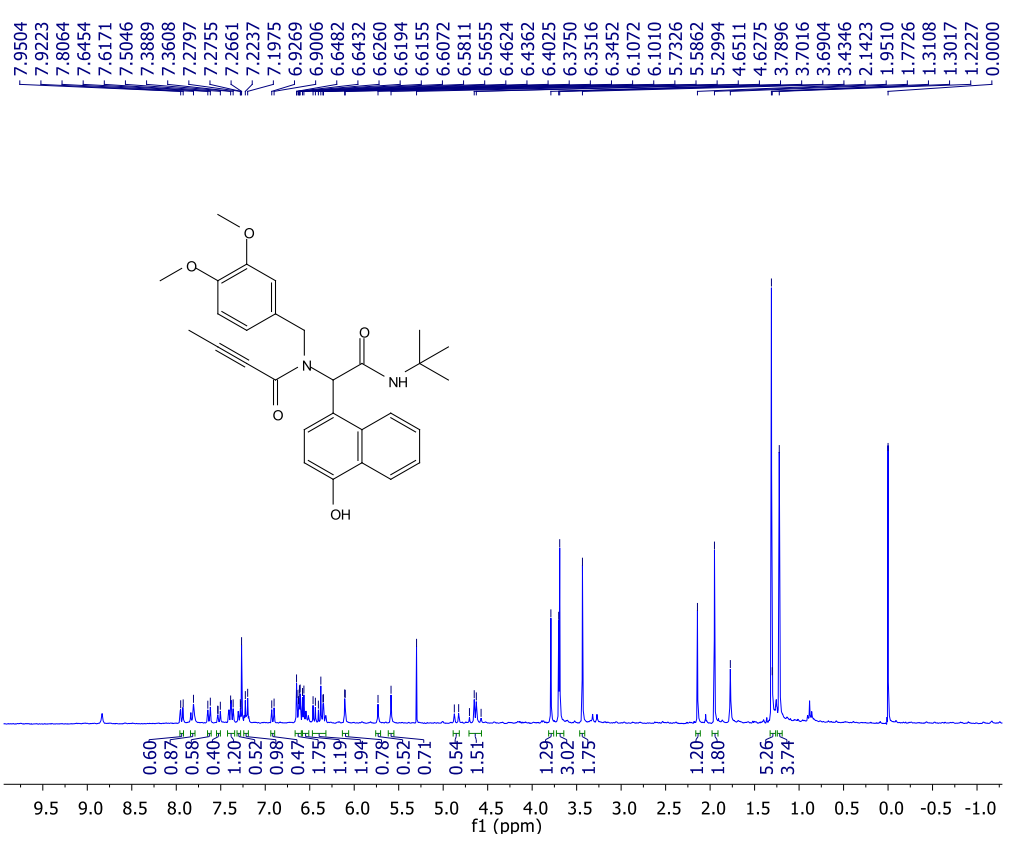
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	297.1
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-12T06:08:00
19	Modification Date	2016-11-12T06:08:39
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-2015.2
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1e**.



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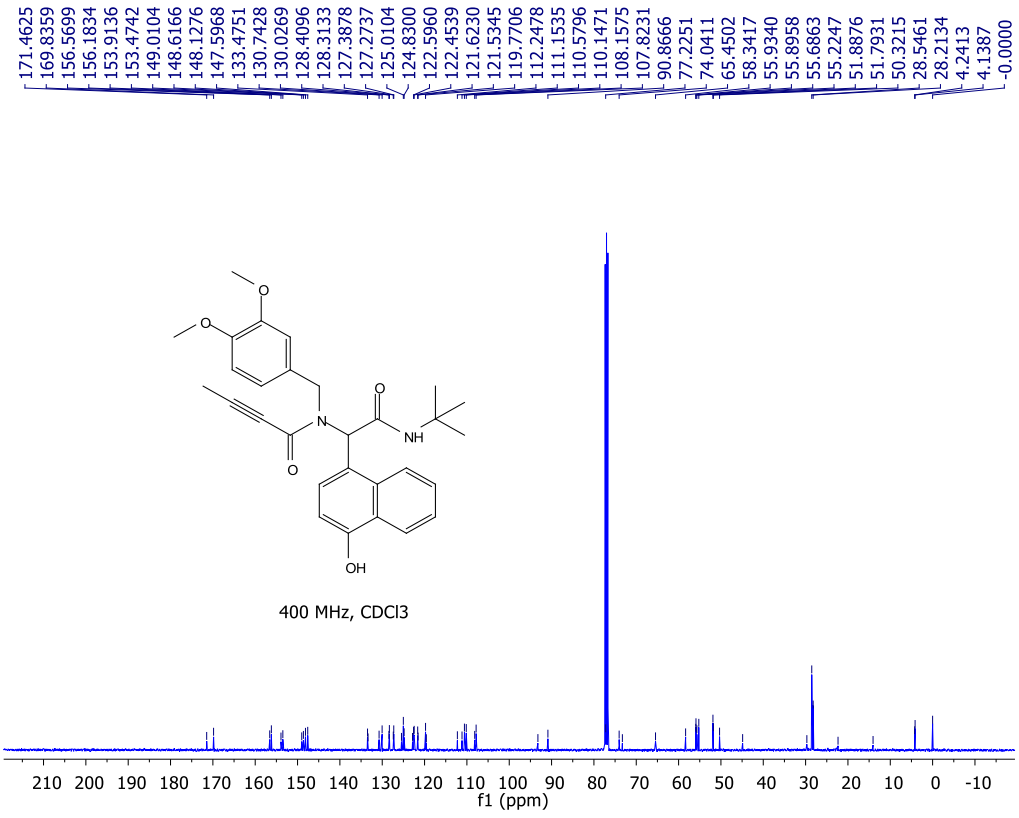
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.6
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-08-29T15:17:00
19	Modification Date	2016-08-29T15:17:41
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1237.4
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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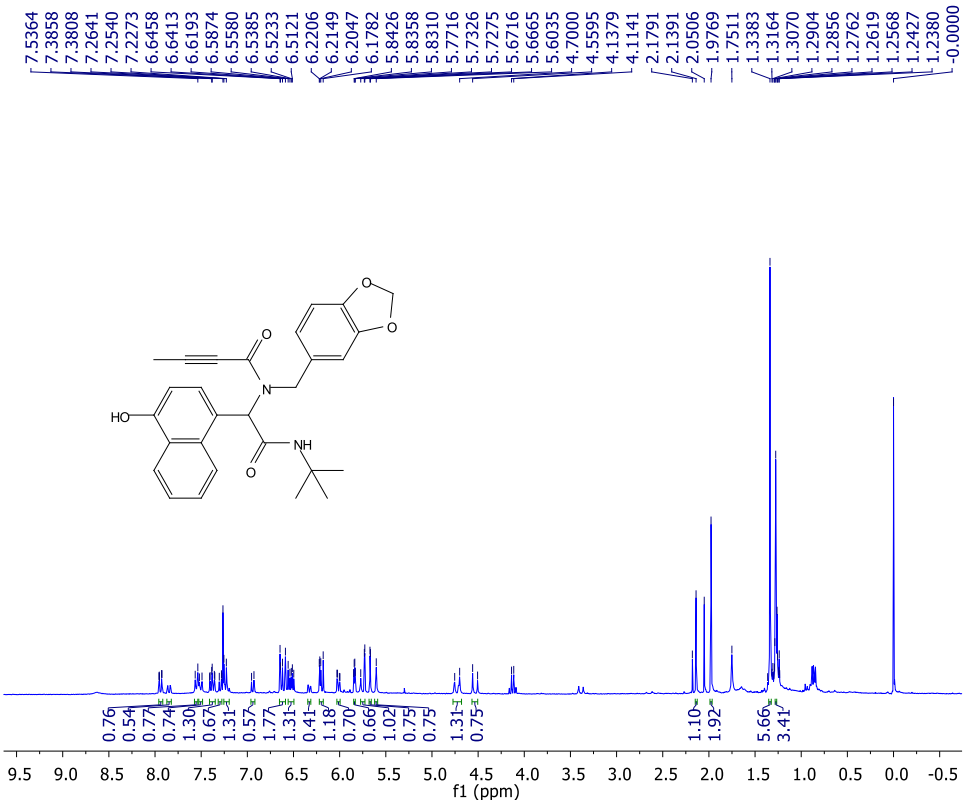
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.2
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-12T09:07:00
19	Modification Date	2016-11-12T09:07:27
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.1
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1f**.



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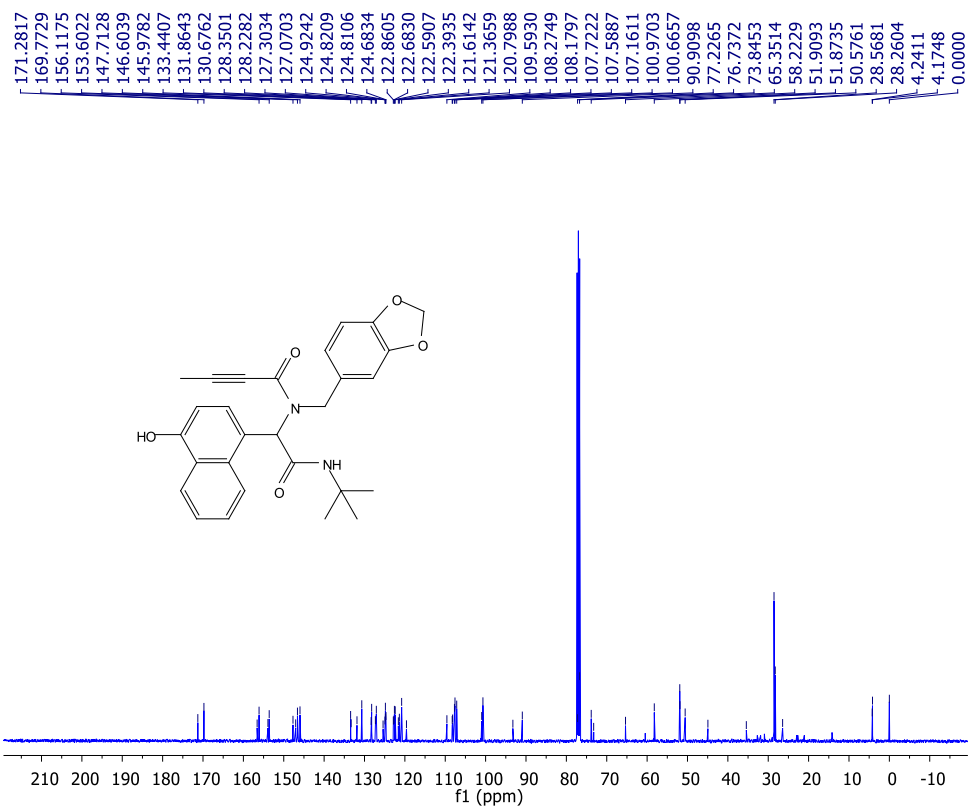
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.6
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-08-29T15:23:00
19	Modification Date	2016-08-29T15:23:35
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.0
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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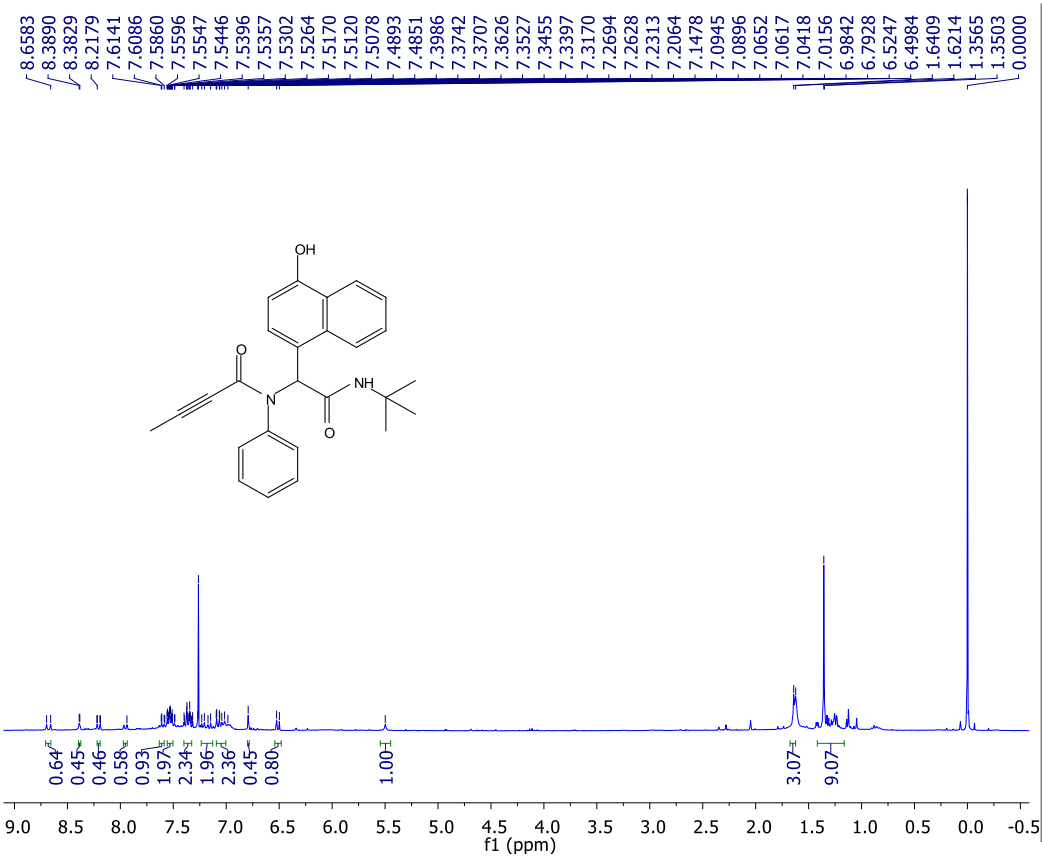
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.2
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2500
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-12T03:10:00
19	Modification Date	2016-11-12T03:10:04
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.0
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1g.**



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3	Comment	
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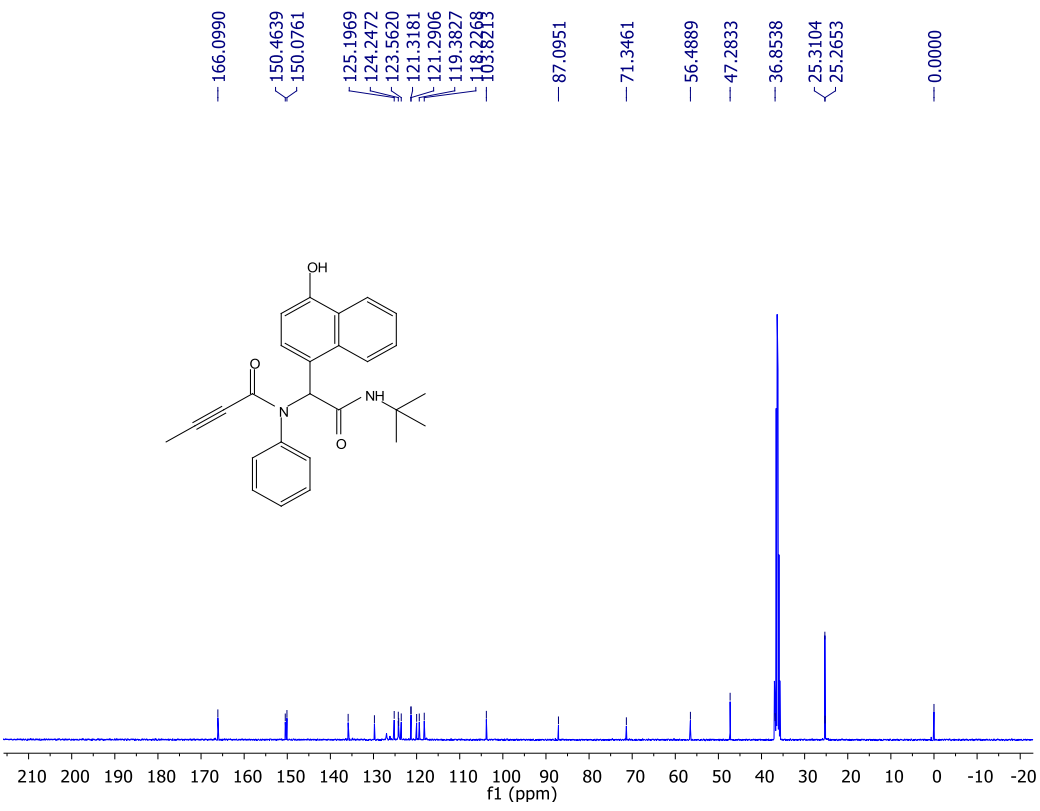
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	300.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	64
14	Receiver Gain	14596
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-09-29T16:23:00
19	Modification Date	2016-09-29T16:23:13
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.4
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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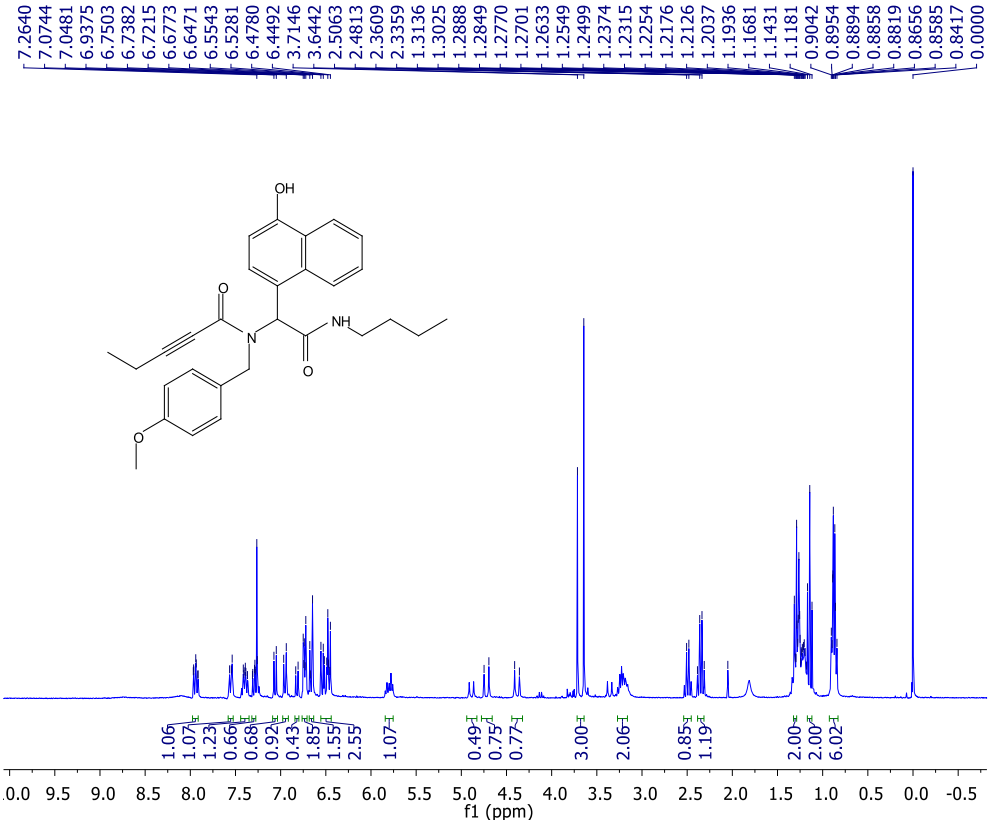
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2304
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-12-19T20:15:00
19	Modification Date	2016-12-19T20:15:03
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-2320.2
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1h.**



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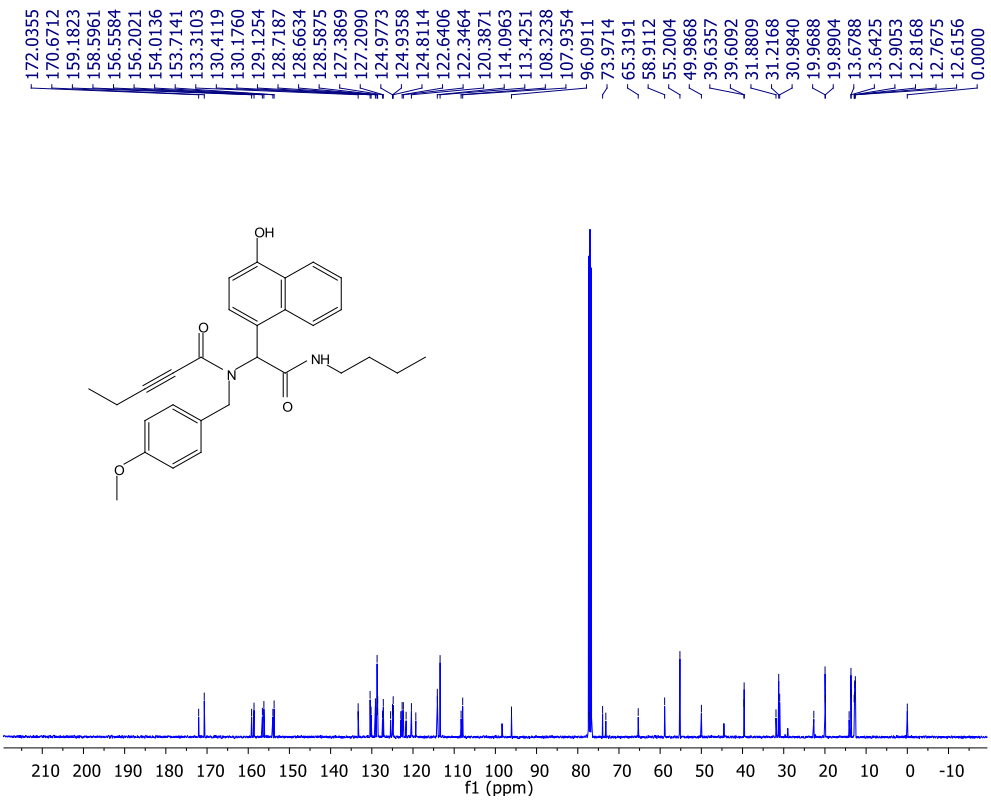
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	575
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-07-20T10:14:00
19	Modification Date	2016-07-20T10:14:16
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.0
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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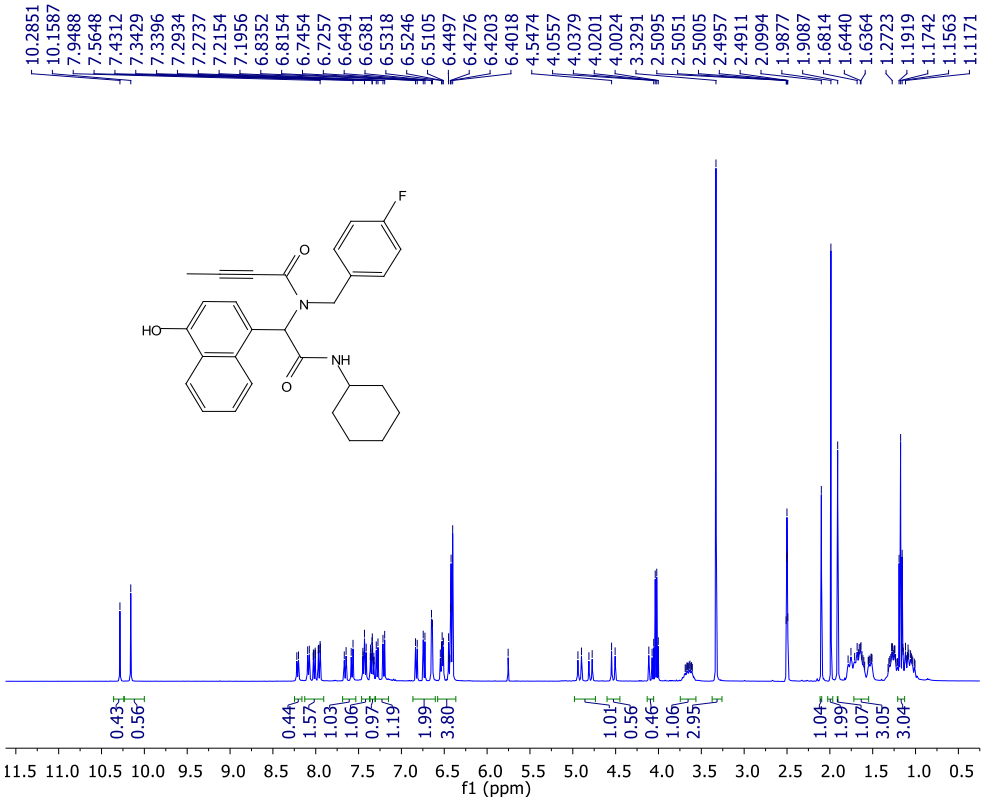
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.2
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-12T12:06:00
19	Modification Date	2016-11-12T12:06:14
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.5
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1i.**



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3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	298.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	16
14	Receiver Gain	72
15	Relaxation Delay	1.0000
16	Pulse Width	9.7500
17	Acquisition Time	3.2768
18	Acquisition Date	2016-12-15T11:59:00
19	Modification Date	2016-12-15T11:59:28
20	Spectrometer Frequency	400.17
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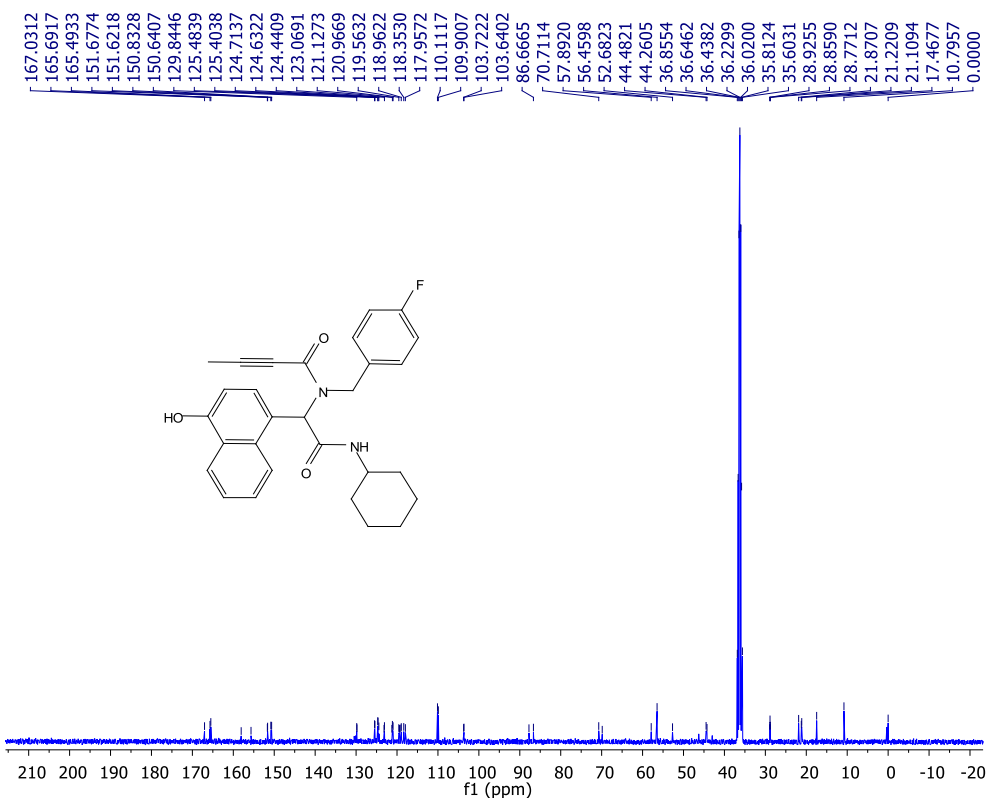
22	Lowest Frequency	-2532.1
23	Nucleus	1H
24	Acquired Size	32768
25	Spectral Size	65536



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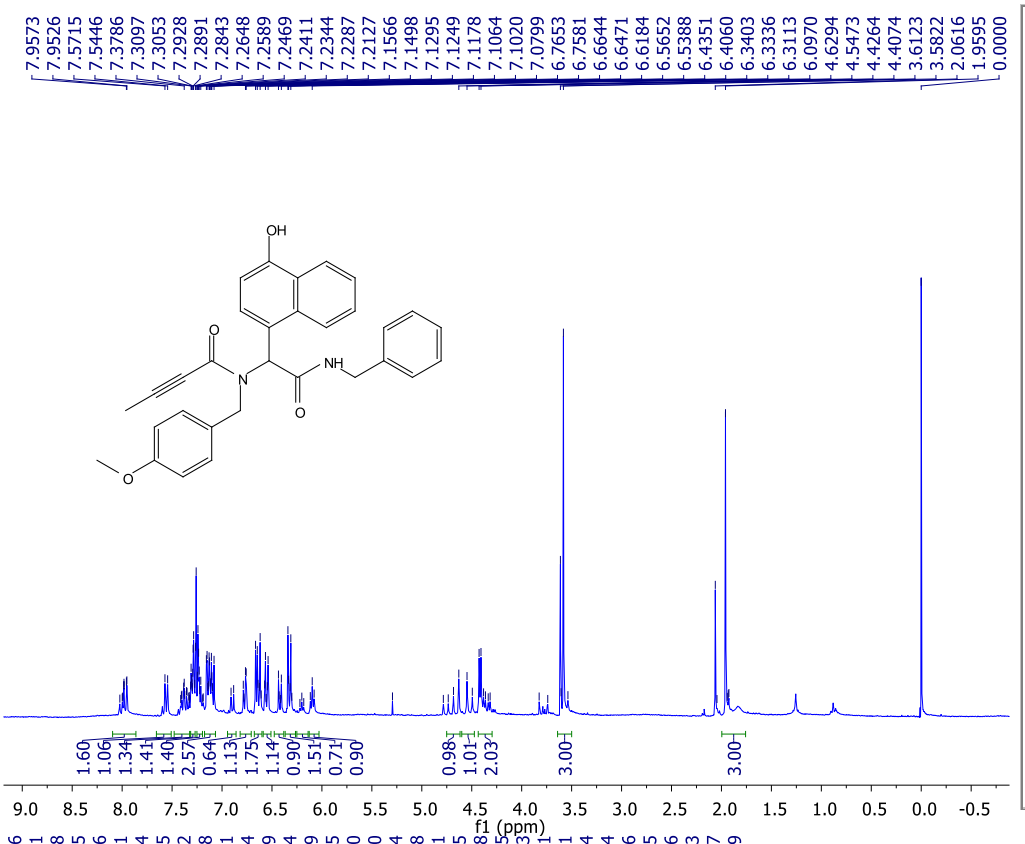
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	363
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-12-19T08:20:00
19	Modification Date	2016-12-19T08:22:08
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-2335.8
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1j** .



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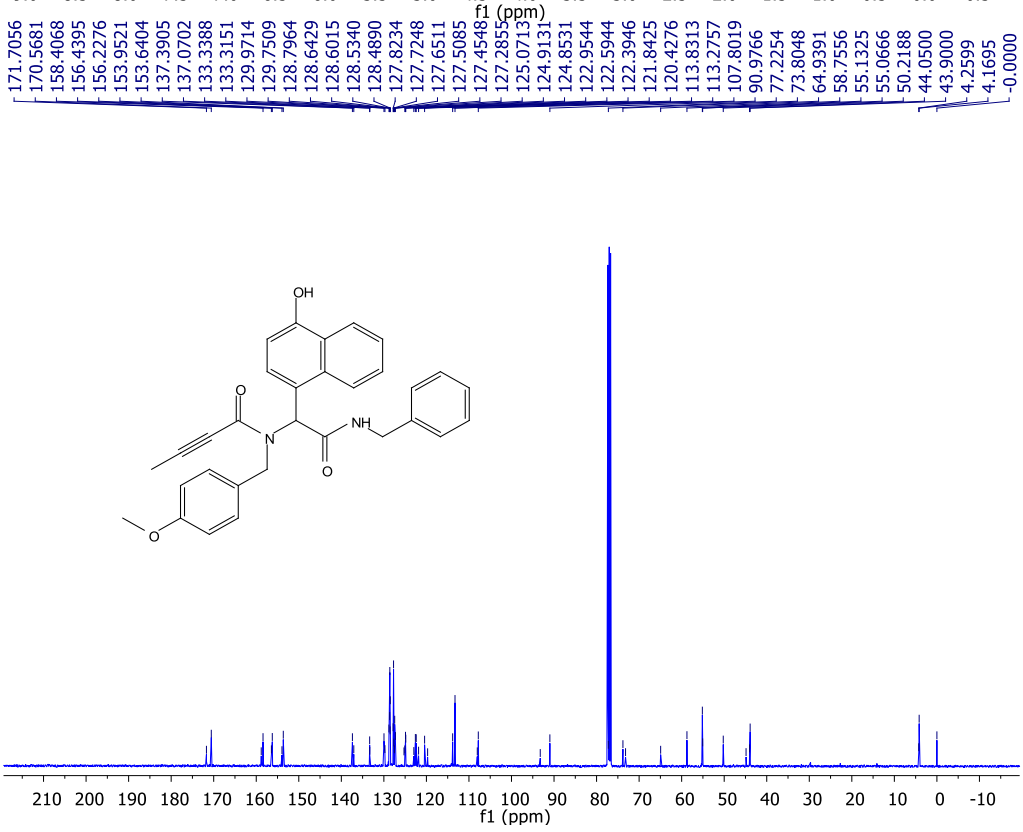
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.4
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-09-12T15:59:00
19	Modification Date	2016-09-12T15:59:19
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1239.5
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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3	Comment	
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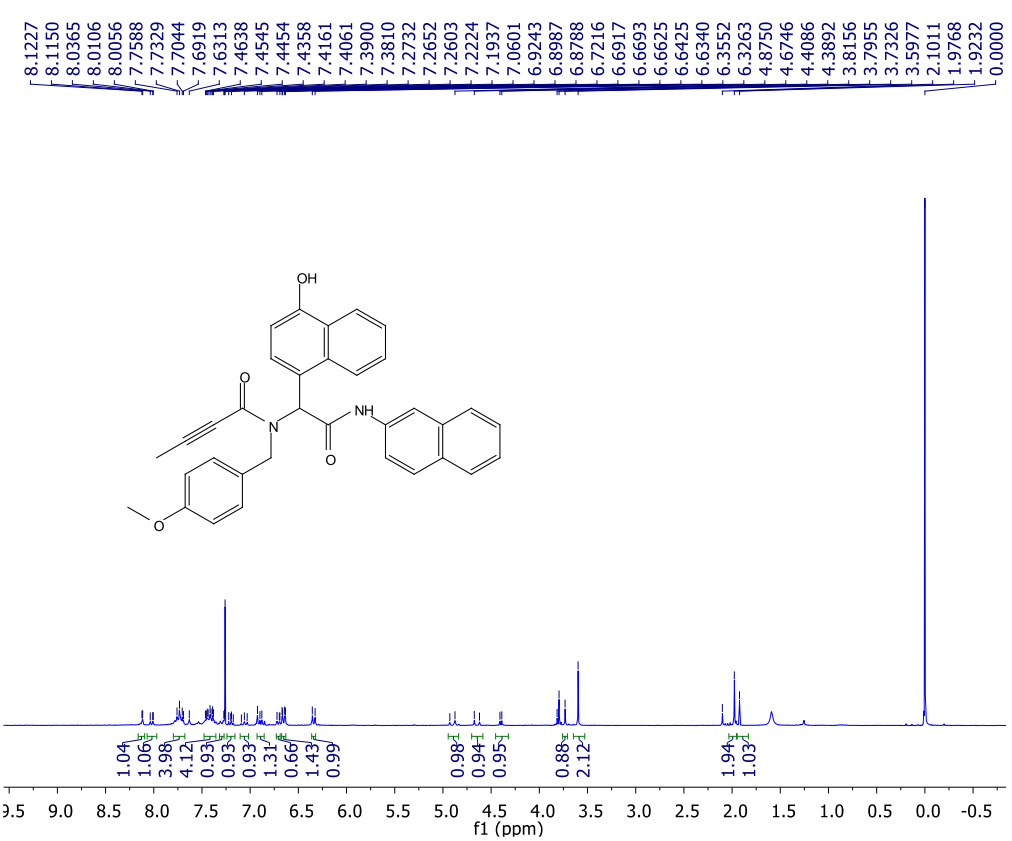
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3500
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-23T03:14:00
19	Modification Date	2016-11-23T03:14:30
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.7
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1k .**



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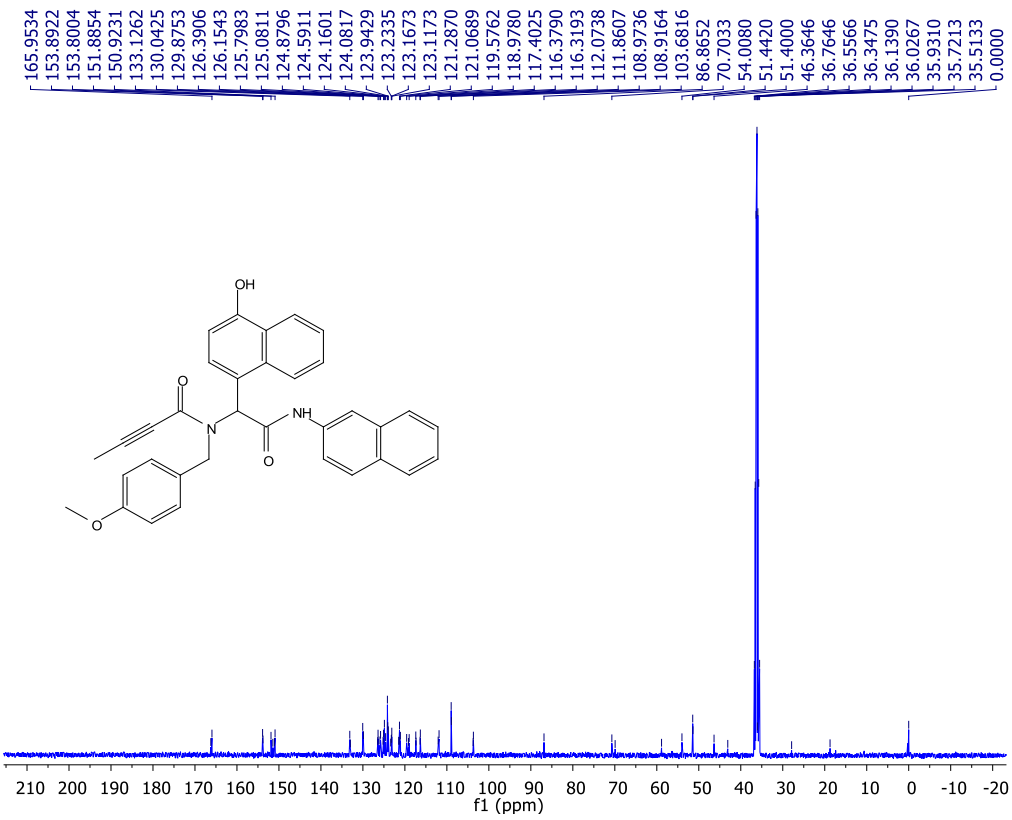
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.4
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	32
14	Receiver Gain	11585
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-09-12T16:07:00
19	Modification Date	2016-09-12T16:07:27
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1239.2
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	768
14	Receiver Gain	201
15	Relaxation Delay	1.5000
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17	Acquisition Time	1.0224
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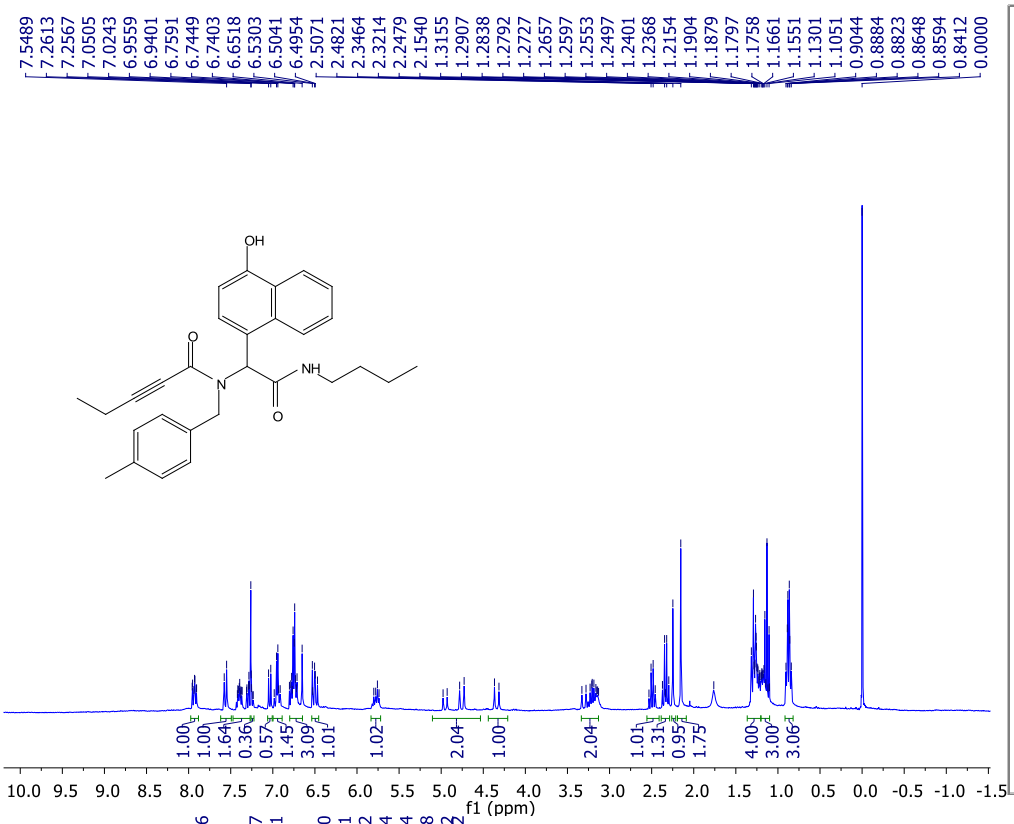
19	Modification Date	2016-12-19T07:58:55
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-2343.9
23	Nucleus	13C
24	Acquired Size	24576
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1l .**



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.1
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
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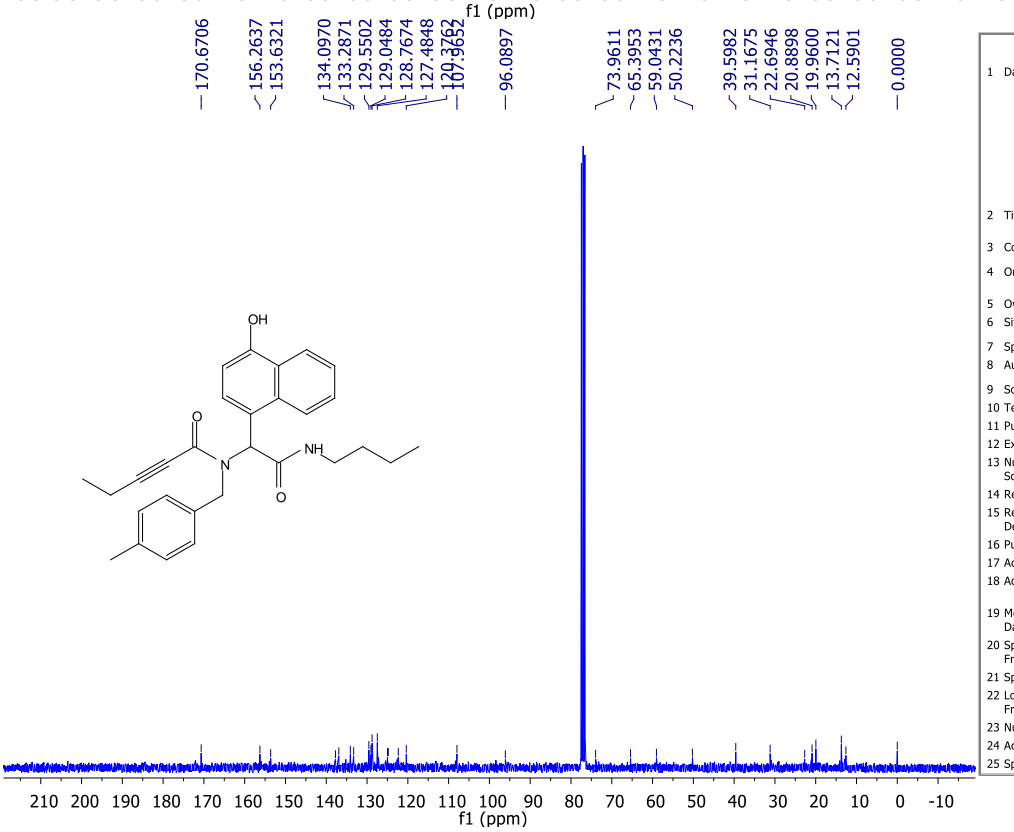
19	Modification Date	2016-07-27T14:03:46
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.8
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.3
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2000
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-07-29T03:12:00
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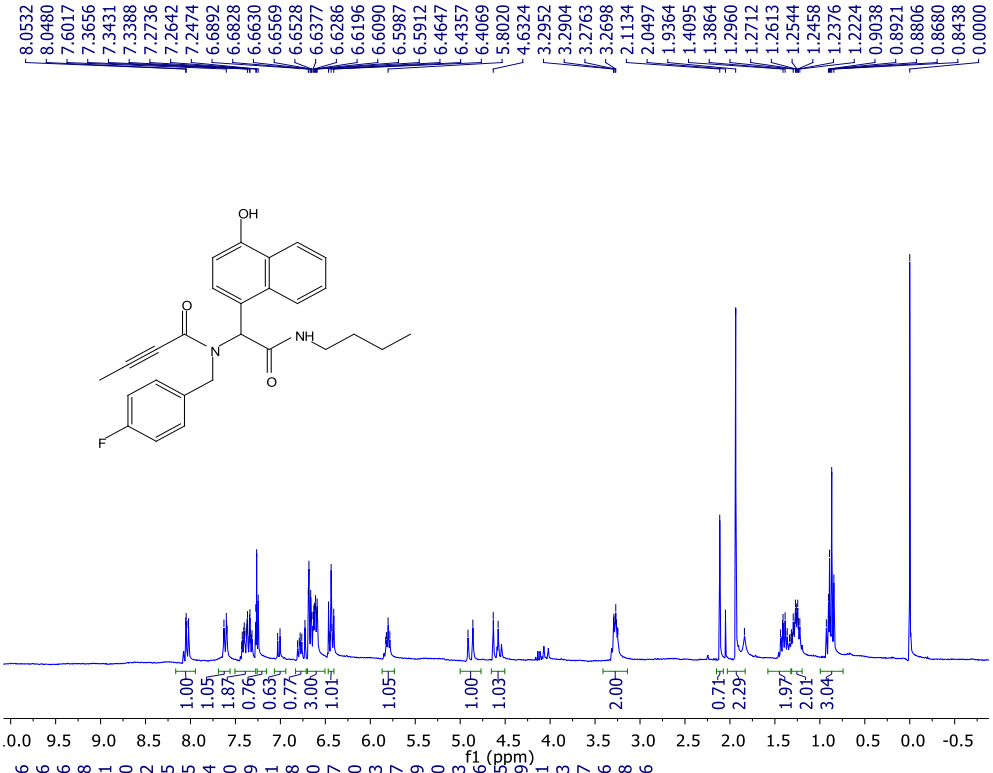
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1446.4
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **1m .**



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.6
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	7298
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
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19	Modification Date	2016-09-19T10:17:02
20	Spectrometer Frequency	300.13
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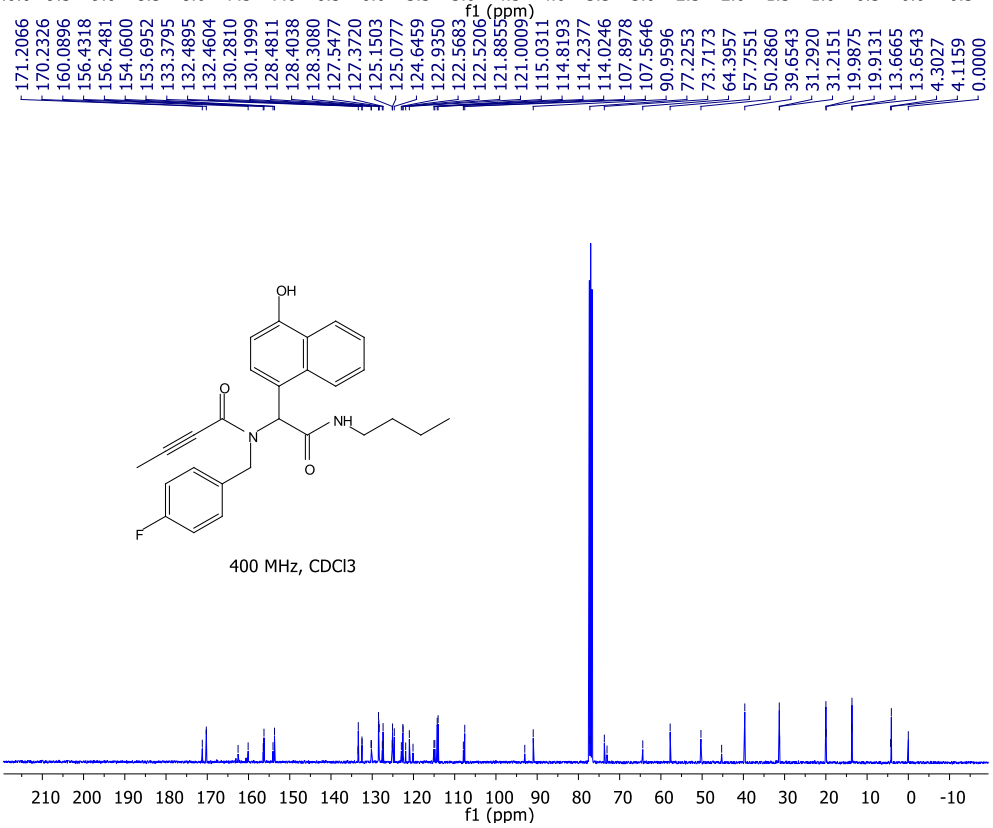
24	Acquired Size	16384
25	Spectral Size	32768



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3	Comment	
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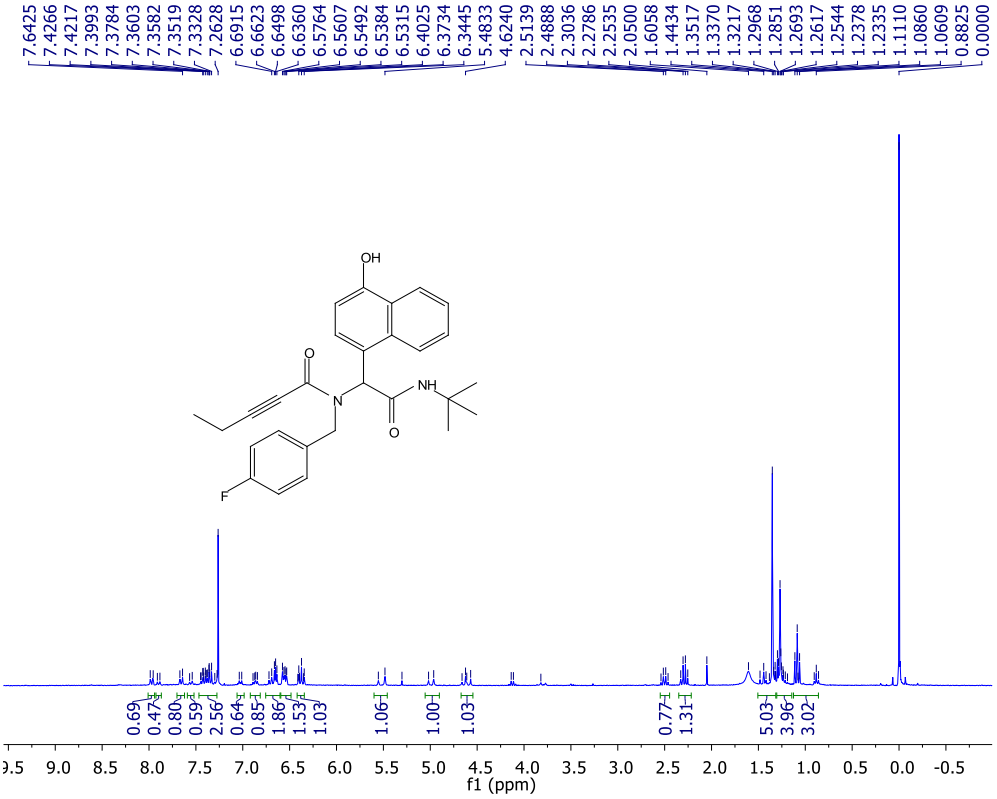
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.1
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-11T22:42:00
19	Modification Date	2016-11-11T22:42:17
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.2
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1n .**



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.8
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	32
14	Receiver Gain	13004
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
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19	Modification Date	2016-09-26T18:31:16
20	Spectrometer Frequency	300.13
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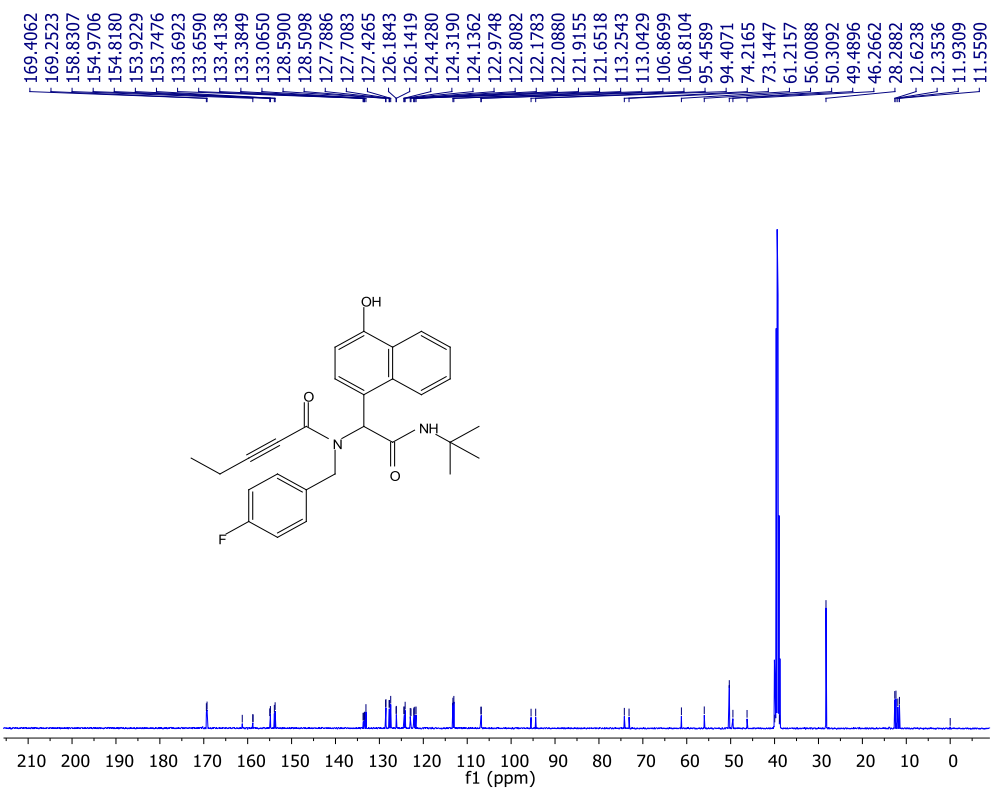
22	Lowest Frequency	-1238.4
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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3	Comment	
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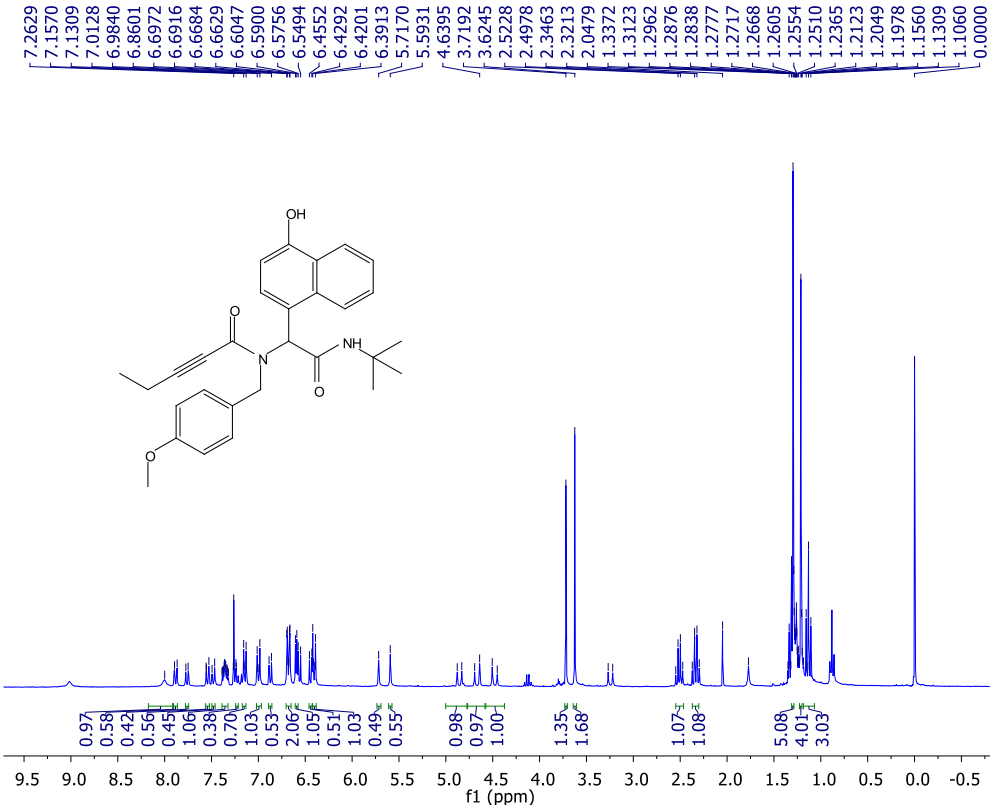
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-23T06:12:00
19	Modification Date	2016-11-23T06:12:25
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-2015.4
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1o .**



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.8
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	3251
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
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20	Spectrometer Frequency	300.13
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22	Lowest Frequency	-1238.5
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24	Acquired Size	16384
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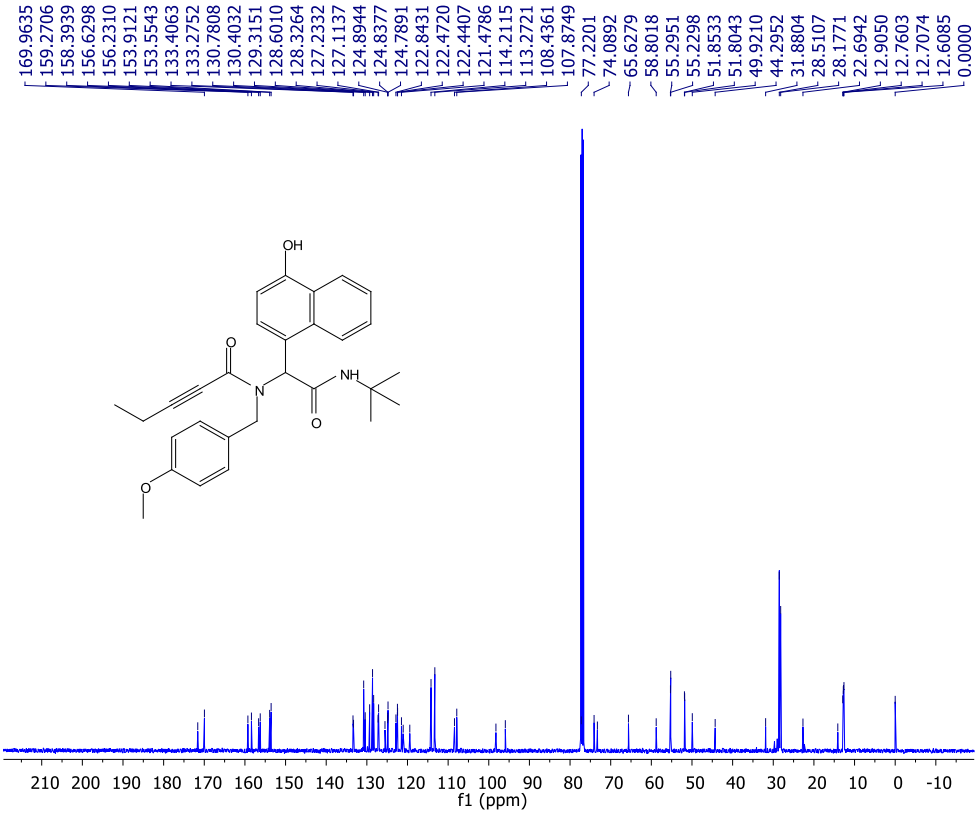

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3	Comment	
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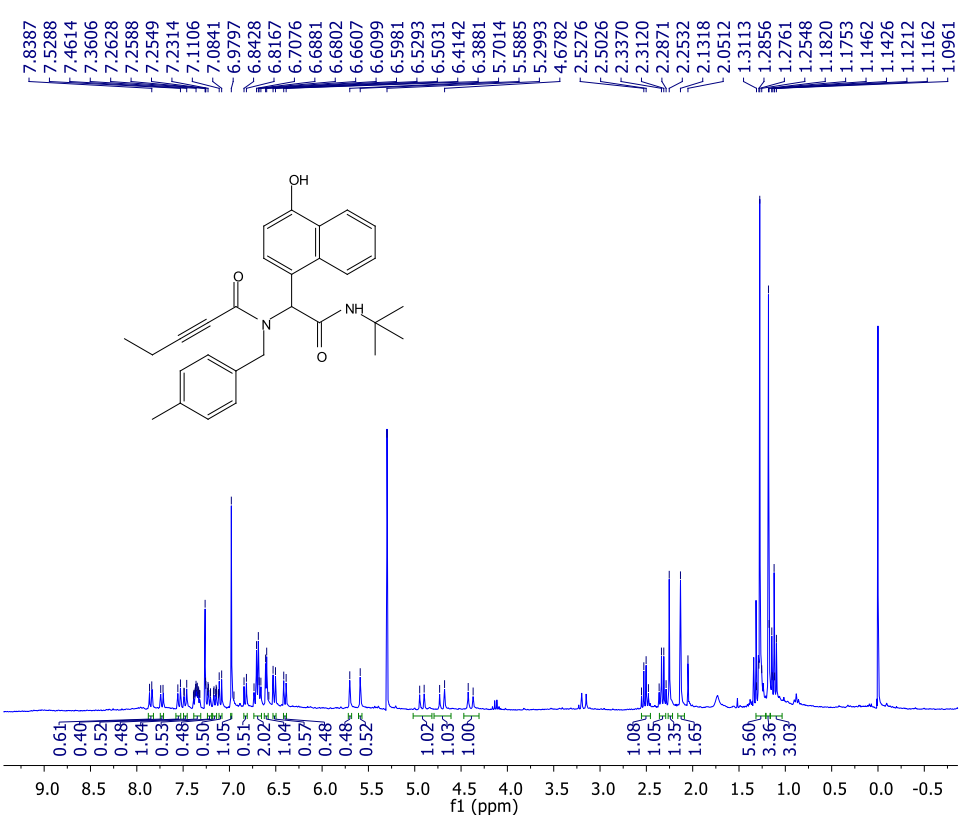
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	297.3
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-12T15:05:00
19	Modification Date	2016-11-12T15:05:04
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1957.8
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1p .**



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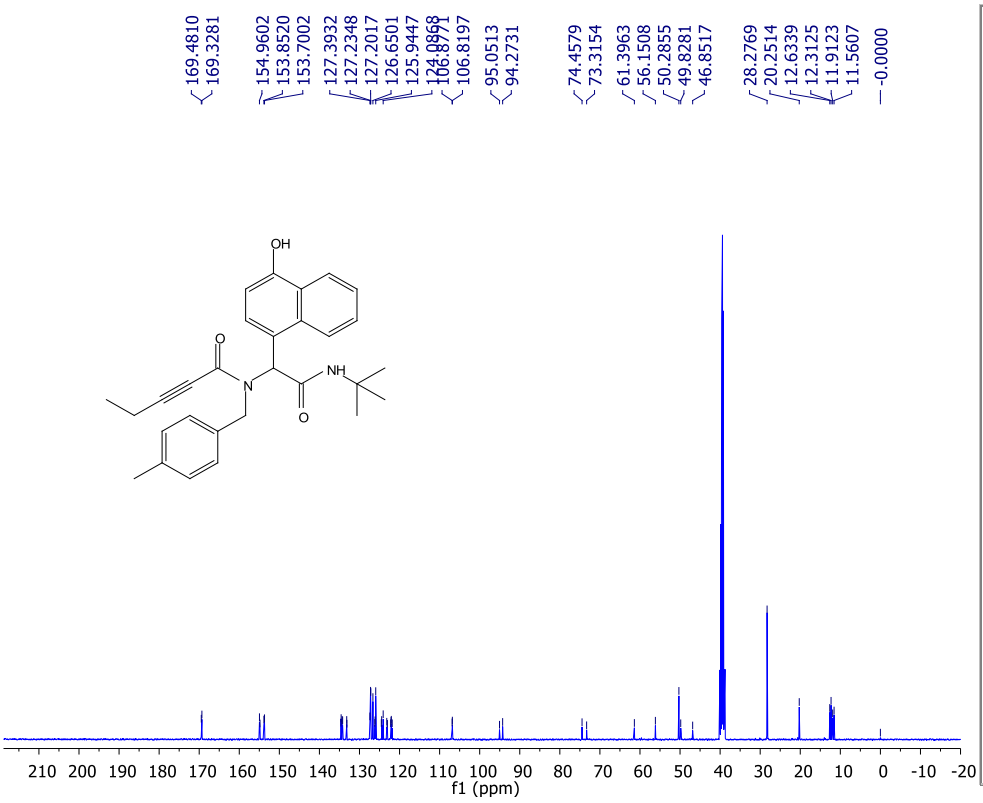
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-07-20T10:06:00
19	Modification Date	2016-07-20T10:06:32
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.4
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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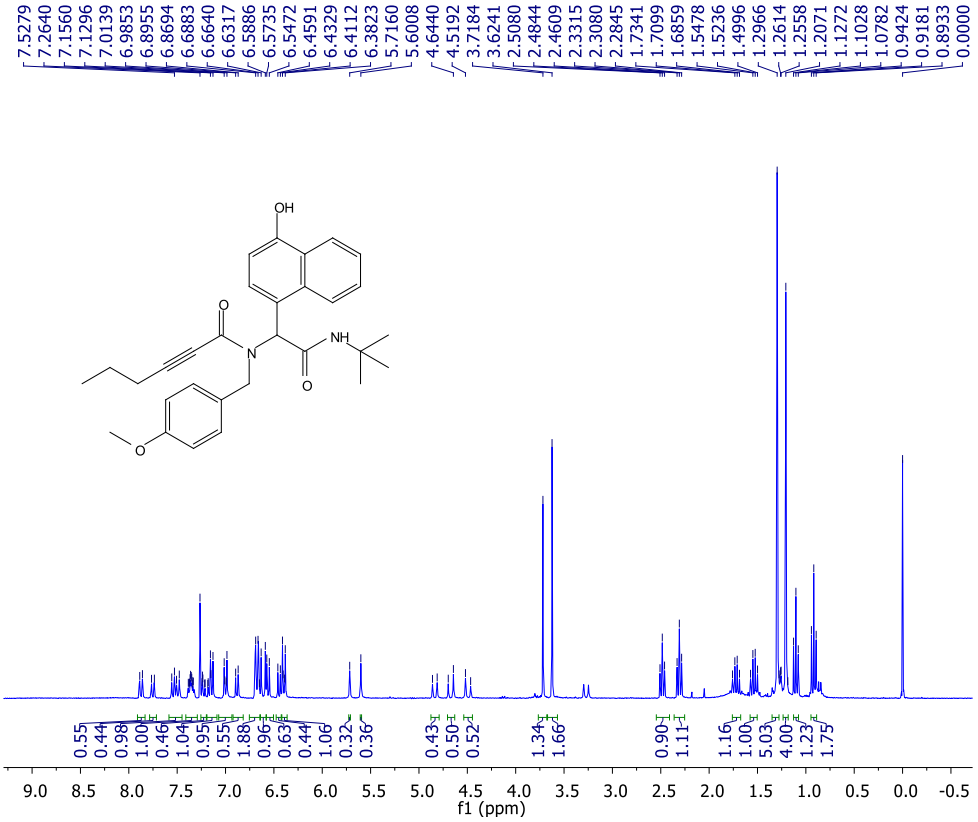
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	297.4
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	3072
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-12T18:02:00
19	Modification Date	2016-11-12T18:03:00
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-2015.9
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1q .**



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	296.0
11	Pulse Sequence	zg30
12	Experiment	1D
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14	Receiver Gain	575
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
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19	Modification Date	2016-09-07T11:14:54
20	Spectrometer Frequency	300.13
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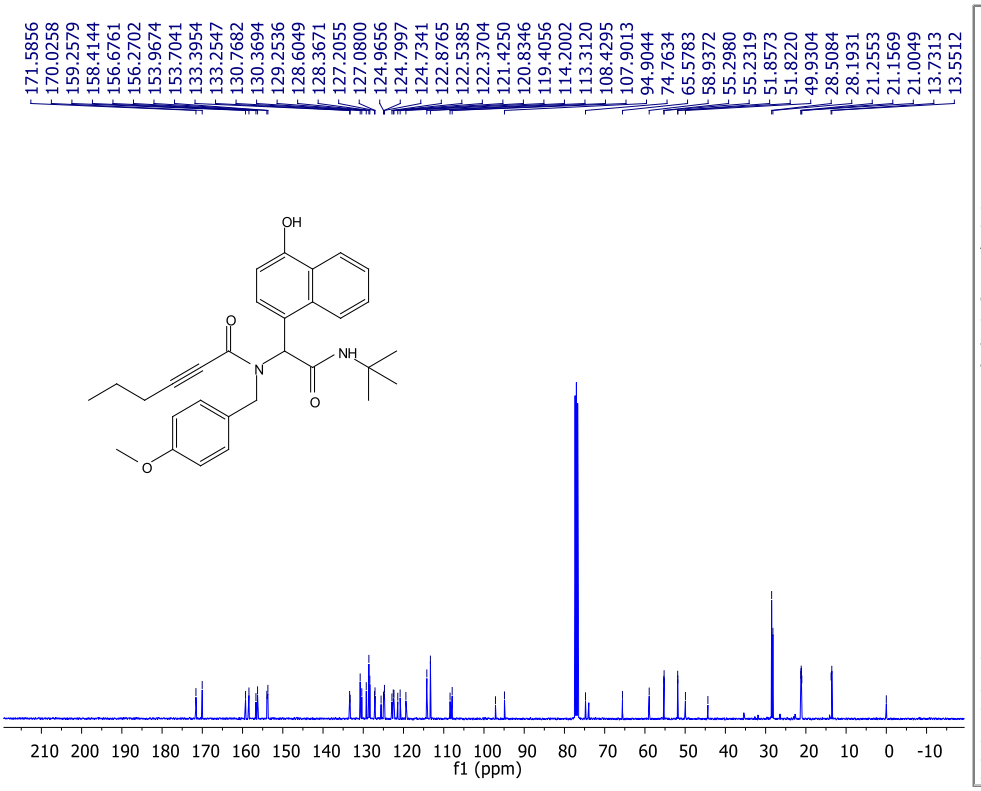
22	Lowest Frequency	-1238.0
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
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12	Experiment	1D
13	Number of Scans	2048
14	Receiver Gain	201
15	Relaxation Delay	2.0000
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17	Acquisition Time	1.3631
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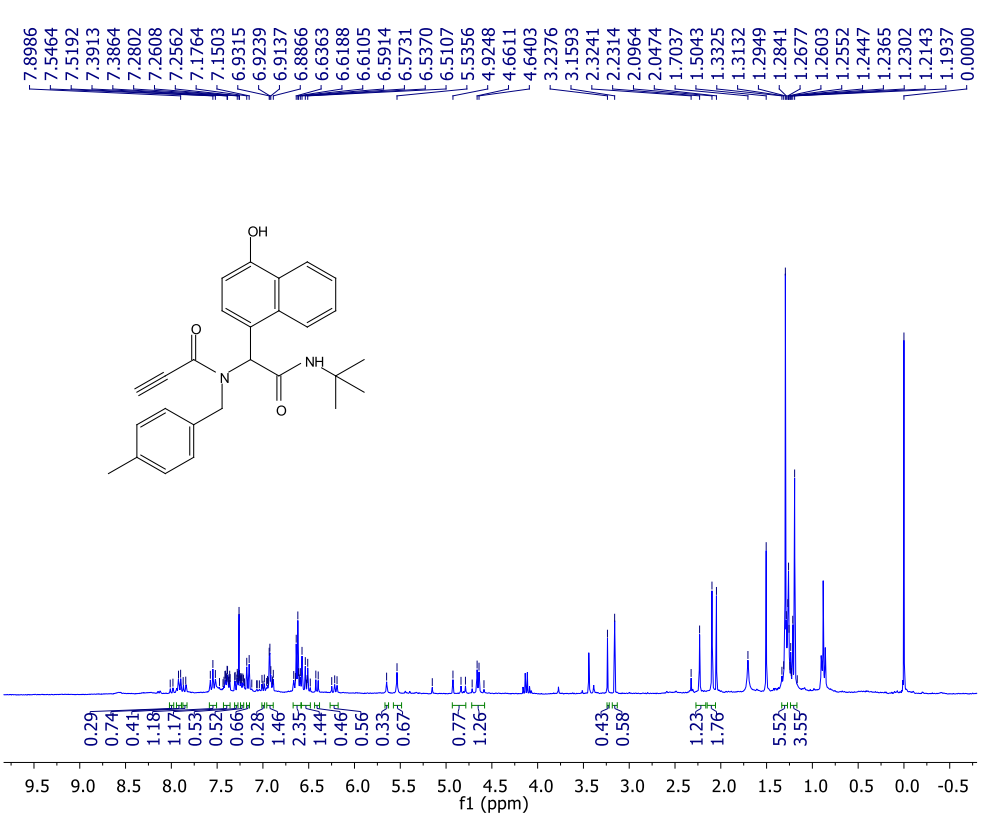
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.2
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1r.**



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
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12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
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16	Pulse Width	9.5000
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22	Lowest Frequency	-1239.0
23	Nucleus	1H
24	Acquired Size	16384
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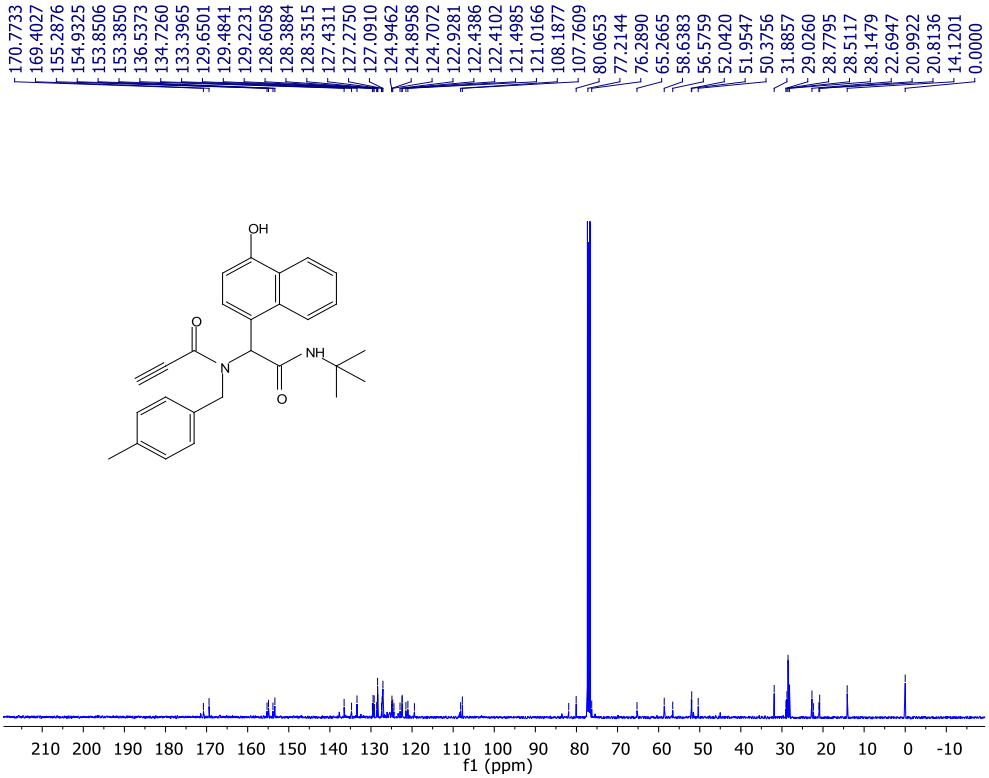

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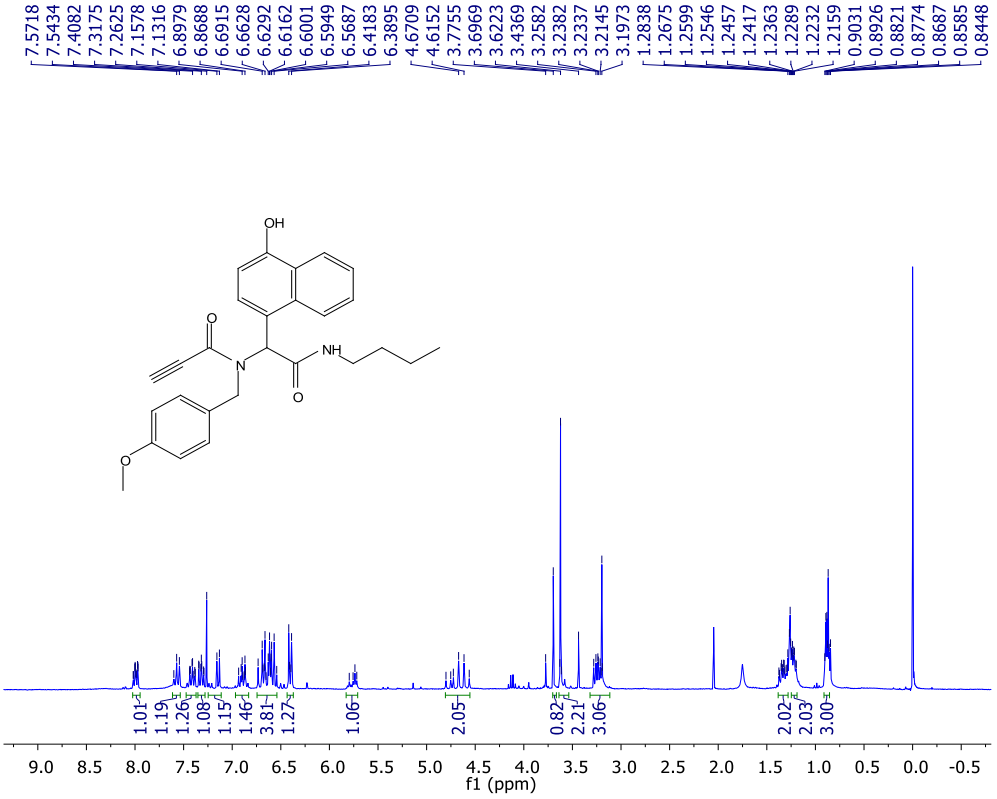
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.1
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2800
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-11-11T06:20:00
19	Modification Date	2016-11-11T06:20:16
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.0
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1s.**



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5	Owner	nmruser
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7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.1
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5793
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
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19	Modification Date	2016-07-27T13:51:12
20	Spectrometer Frequency	300.13
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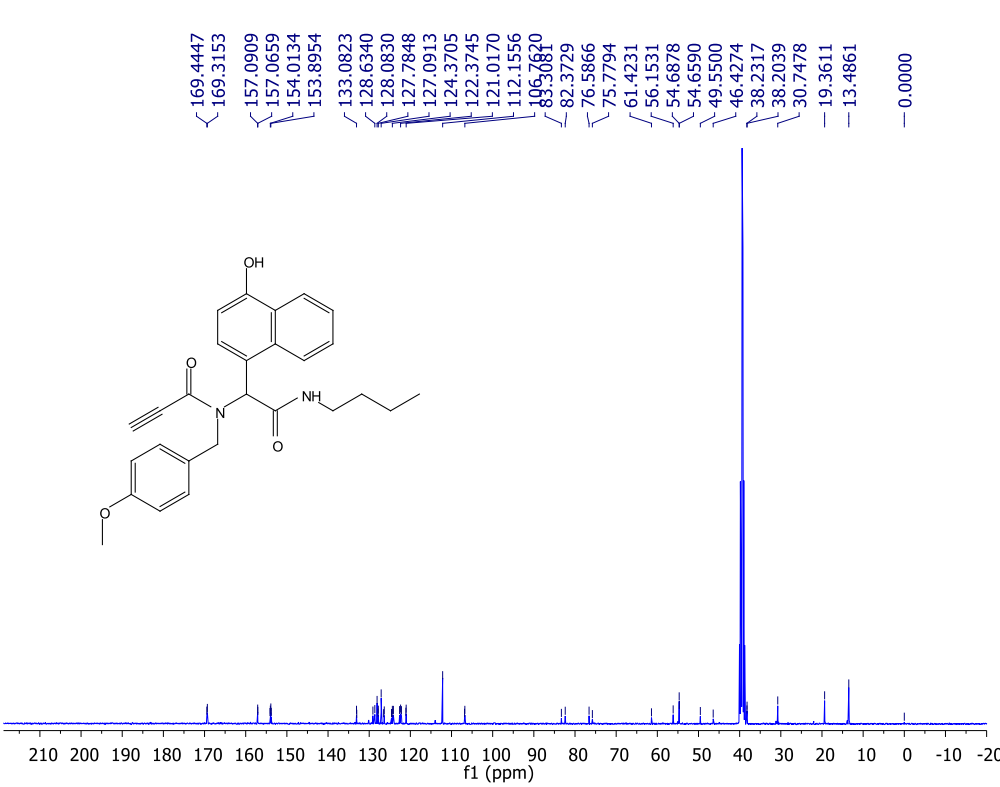
22	Lowest Frequency	-1238.6
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	DMSO
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	4000
14	Receiver Gain	201
15	Relaxation Delay	2.0000
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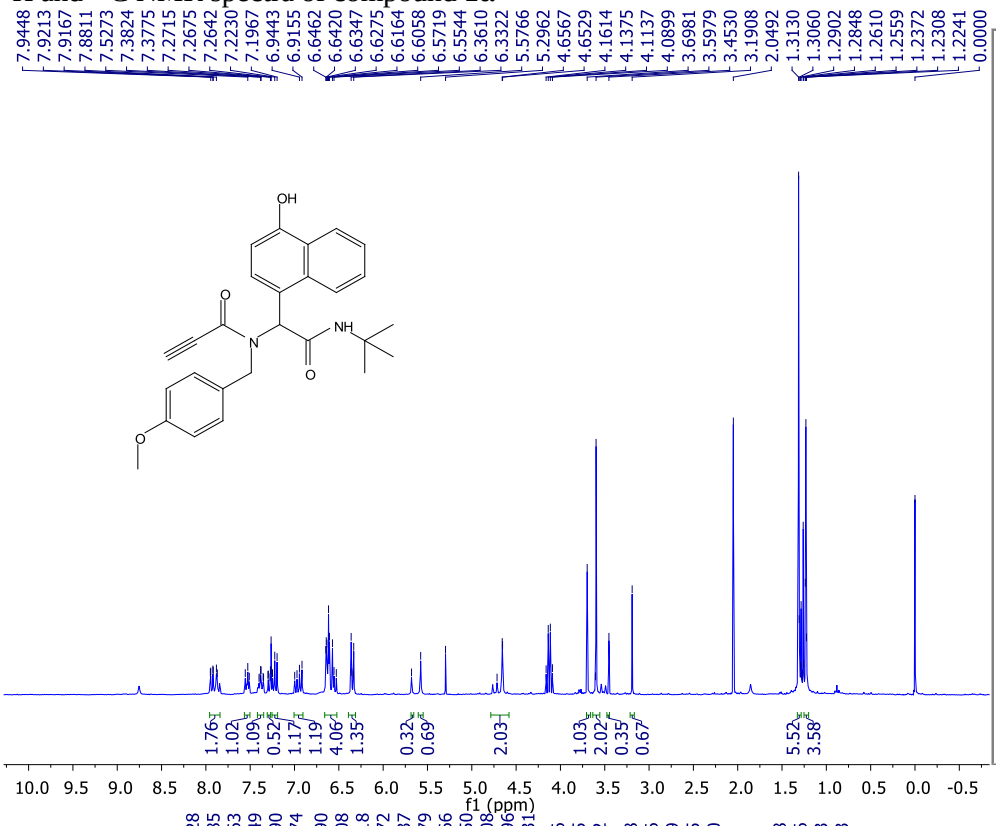
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1957.4
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768

^{1}H and ^{13}C NMR spectra of compound **1t.**



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5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.0
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	3251
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
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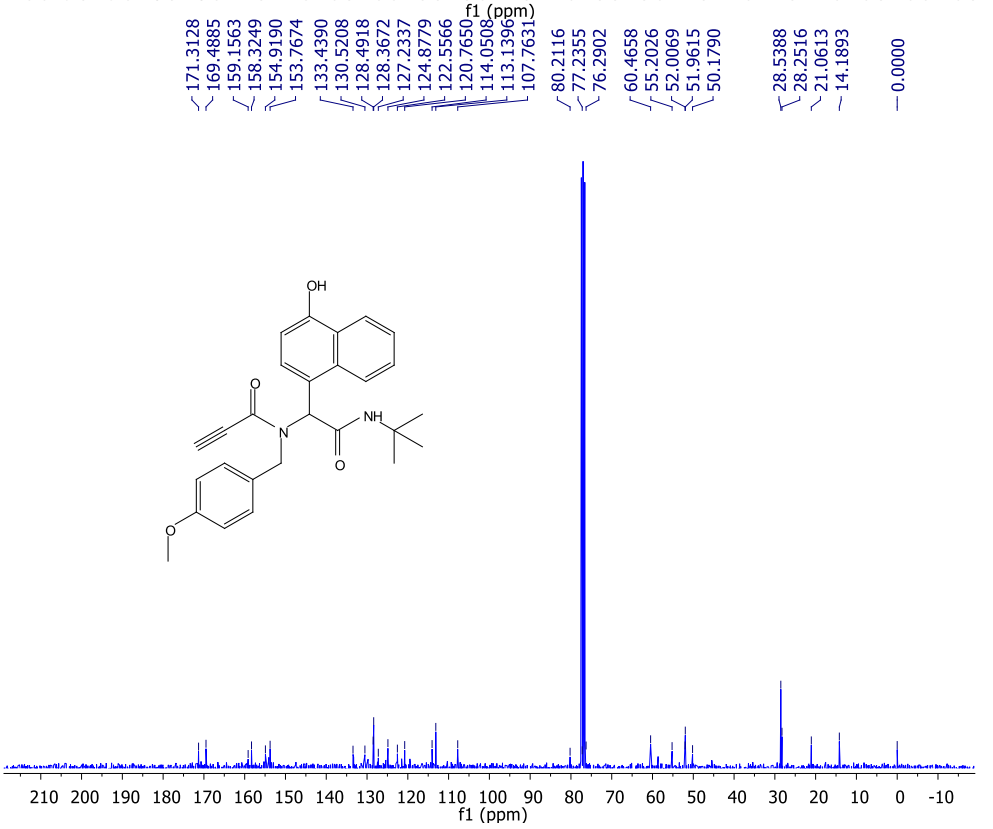
22	Lowest Frequency	-1238.0
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



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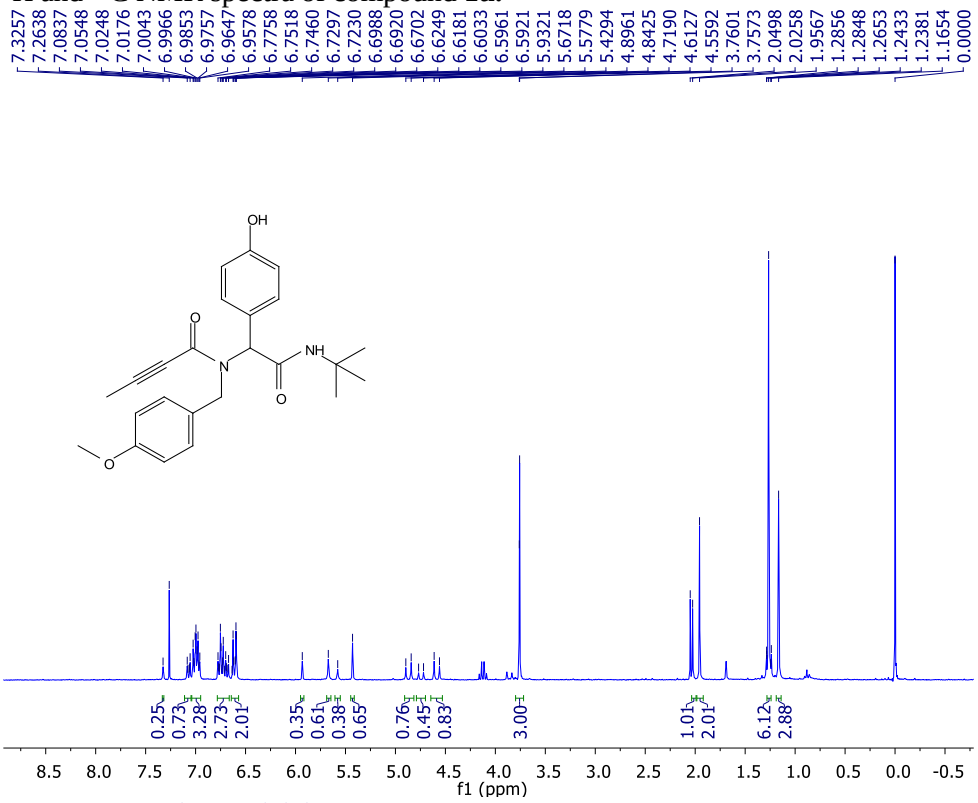
5	Owner	nmruser
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.6
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	1200
14	Receiver Gain	46341
15	Relaxation Delay	1.7500
16	Pulse Width	8.2500
17	Acquisition Time	1.8220
18	Acquisition Date	2016-07-08T00: 45:00
19	Modification Date	2016-07-08T00: 45:54
20	Spectrometer Frequency	75.48
21	Spectral Width	17985.6
22	Lowest Frequency	-1445.9
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	65536

^{1}H and ^{13}C NMR spectra of compound **1u.**



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5	Owner	nmruser
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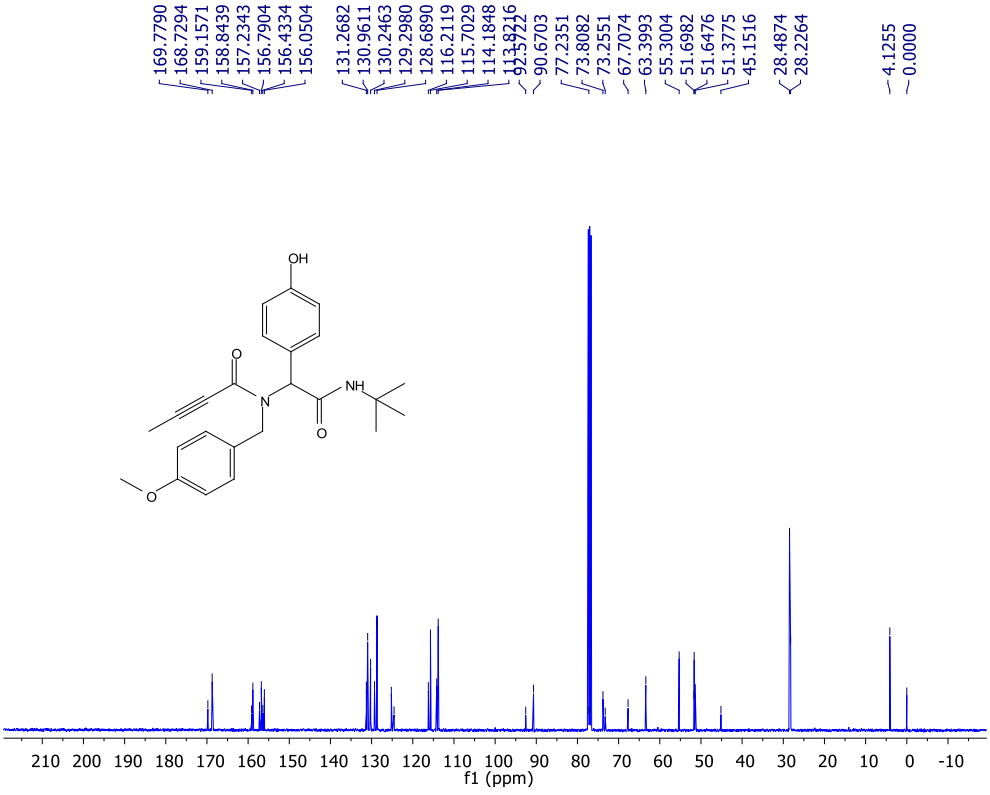
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	295.9
11	Pulse Sequence	zg30
12	Experiment	1D
13	Number of Scans	8
14	Receiver Gain	5161
15	Relaxation Delay	1.0000
16	Pulse Width	9.5000
17	Acquisition Time	2.6543
18	Acquisition Date	2016-04-20T09:53:00
19	Modification Date	2016-04-20T09:54:04
20	Spectrometer Frequency	300.13
21	Spectral Width	6172.8
22	Lowest Frequency	-1238.4
23	Nucleus	1H
24	Acquired Size	16384
25	Spectral Size	32768



	Parameter	Value
1	Data File Name	C:/ Users/ moke/ Desktop/ LOMAC/ Present Work/ PROJECT 1/ Manuscript and documentations/ NMR/ w/ EVDE-YH017-R7-C/ 10/ fid
2	Title	EVDE-YH017-R7-C
3	Comment	
4	Origin	Bruker BioSpin GmbH
5	Owner	nmr
6	Site	
7	Spectrometer	spect
8	Author	
9	Solvent	CDCl3
10	Temperature	298.0
11	Pulse Sequence	zgpg30
12	Experiment	1D
13	Number of Scans	2048
14	Receiver Gain	201
15	Relaxation Delay	2.0000
16	Pulse Width	7.6500
17	Acquisition Time	1.3631
18	Acquisition Date	2016-12-24T00:36:00
19	Modification Date	2016-12-24T00:36:15
20	Spectrometer Frequency	100.63
21	Spectral Width	24038.5
22	Lowest Frequency	-1958.0
23	Nucleus	13C
24	Acquired Size	32768
25	Spectral Size	32768