Supporting Information

$BF_3.Et_2O$ Controlled Selective Synthesis of α-Substituted Propargylamides and β-(N-acylamino) ketones: Application to Carbon and Sulphur Nucleophiles.

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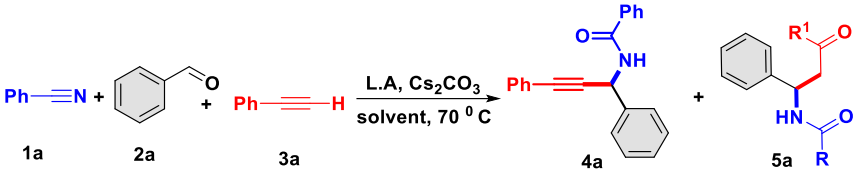
General Information. All chemicals were obtained from Sigma-Aldrich, Tokyo Chemical Industry and S. D. Fine, the progress of the reactions was monitored by thin-layer chromatography (TLC) on pre-coated silica-gel plates using Merck Silica Gel 60 F_{254}, Cat. No. 1.05554.0007 and visualized by short-wave ultraviolet light. Column chromatography was performed by hand using silica-gel (100–200 mesh, Silicycle).^{1}H, ^{13}C, NMR spectra were recorded on Bruker-Advance DPX FT-NMR 500 and 400 MHz instruments. Chemical data for protons are reported in parts per million (ppm) downfield from tetramethylsilane and are referenced to the residual proton in the NMR solvent (DMSO-d_6: 2.5 ppm and 3.4 ppm. Carbon nuclear magnetic resonance spectra ^{13}C NMR solvent DMSO-d_6: 39.90-40 ppm) were recorded at 125 MHz or 100 MHz: chemical data for carbons are reported in parts per million (ppm, δ scale) down field from tetramethylsilane and are referenced to the carbon resonance of the solvent. ESI-MS and HRMS spectra were recorded on Agilent 1100 LC-Q-TOF and HRMS-6540-UHD machines respectively.

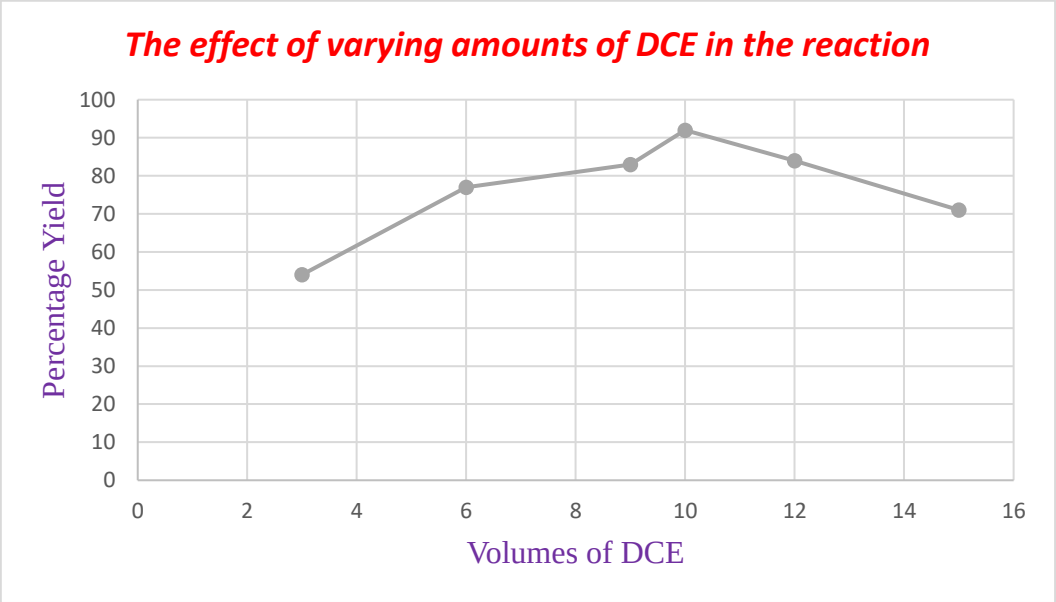
Screening of Different Bases



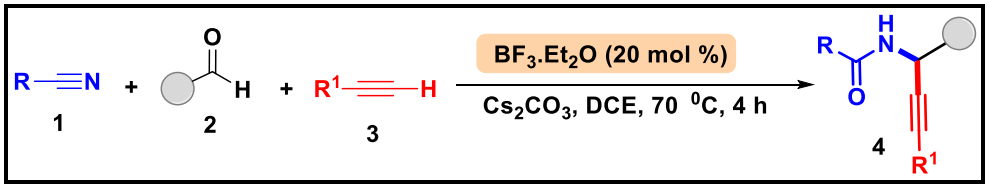
entry	LA	mmol	4a (% age yield)	5a (% age yield)	base
1	$BF_3{-}OEt_2$	0.2	71	…..	NEt_3
2	$BF_3{-}OEt_2$	0.5	NR	63	NEt_3
3	$BF_3{-}OEt_2$	0.2	NR	NR	Na_2CO_3
4	$BF_3{-}OEt_2$	0.2	NR	NR	K_2CO_3
5	$BF_3{-}OEt_2$	0.2	NR	NR	pyridine
6	$BF_3{-}OEt_2$	0.2	NR	NR	DMAP
7	$BF_3{-}OEt_2$	0.2	NR	NR	NaH
8	$BF_3{-}OEt_2$	0.2	92	NR	Cs_2CO_3
9	$BF_3{-}OEt_2$	0.2	37	NR	DIPEA
10	$BF_3{-}OEt_2$	0.2	NR	NR	*n*-BuLi
11	**$BF_3{-}OEt_2$**	**0.5**	NR	**87**	**Cs_2CO_3**

The effect of various amount of DCE in the reaction

The high volume of DCE is used to ensure the solubility of all reactants, maintain uniform temperature distribution during the reaction at 70°C, and dilute side reactions to minimize unwanted products. The high volume of DCE is also necessary to ensure the solubility of Cs2CO3, the base, which may not be very soluble in smaller volumes of solvent. A larger volume ensures it remains dissolved, facilitating effective deprotonation and promoting the reaction as represented graphically.



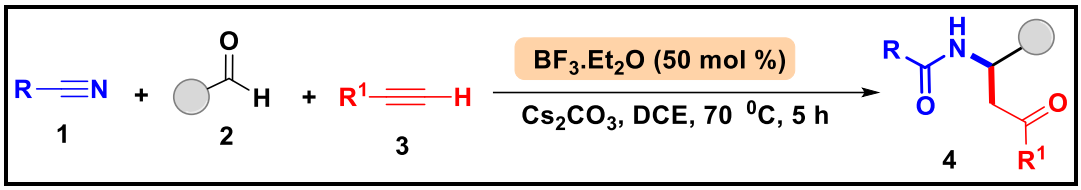
General procedure for synthesis of Compounds (4a-4y):



To an oven dried round bottom flask were added nitrile **1** (1.2 mmol) benzaldehyde **2** (1.0 mmol), in 1,2-Dichloroethane (10ml). Then boron trifluoride diethyl etherate (0.2 mmol), was added dropwise at room temperature. After 10 minutes alkyne **3** (1.0 mmol) and Cs_2CO_3 (1.2 mmol) was added to the reaction mixture maintaining the temperature below 30 ^{0}C. Then the reaction mixture was heated to 70 ^{0}C in an oil bath for 4 hour. After the completion of the reaction as monitored by TLC, the reaction mixture was cooled down to room temperature, extracted with ethyl acetate (25 ml× 2) and washed with H_2O (50 ml × 2). The organic layer

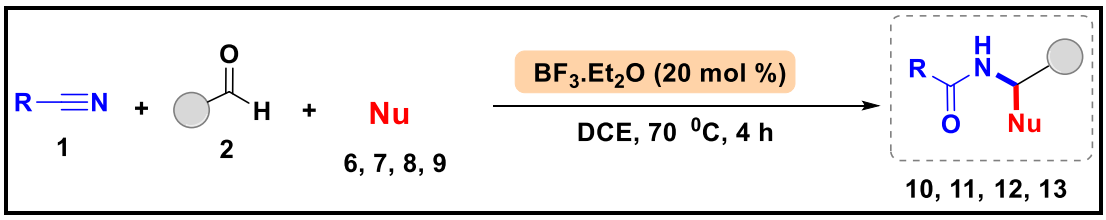
was dried over anhydrous Na_2SO_4, concentrated via rotary evaporation, and purified by column chromatography on silica gel (petroleum ether: ethyl acetate) as a Solid.

General procedure for synthesis of Compounds (5a-5n):



To an oven dried round bottom flask were added nitrile **1** (1.2 mmol) benzaldehyde **2** (1.0 mmol), in 1,2-Dichloroethane (10ml). Then boron trifluoride diethyl etherate (0.5 mmol), was added dropwise at room temperature. After 10 minutes alkyne **3** (1.0 mmol) and Cs_2CO_3 (1.2 mmol) was added to the reaction mixture maintaining the temperature below 30 ^{0}C. Then the reaction mixture was heated to 70 ^{0}C in an oil bath for 5 hour. After the completion of the reaction as monitored by TLC, the reaction mixture was cooled down to room temperature, extracted with ethyl acetate (25 ml× 2) and washed with H_2O (50 ml × 2). The organic layer was dried over anhydrous Na_2SO_4, concentrated via rotary evaporation, and purified by column chromatography on silica gel (petroleum ether: ethyl acetate) as a Solid.

General procedure for synthesis of Compounds (10, 11 12 and 13):

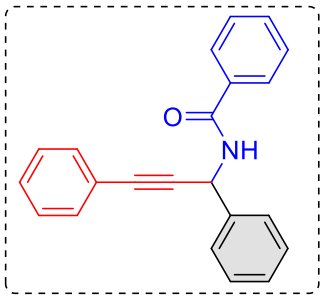


To an oven dried round bottom flask were added nitrile **1** (1.2 mmol) benzaldehyde **2** (1.0 mmol), in 1,2-Dichloroethane (10ml). Then boron trifluoride diethyl etherate (0.5 mmol), was added dropwise at room temperature. After 15 minutes Nucleophile (**6, 7, 8, 9)** (1.0 mmol) was added to the reaction mixture maintaining the temperature below 30 ^{0}C . Then the reaction mixture was heated to 70 ^{0}C in an oil bath for 4 hour. After the completion of the reaction as

monitored by TLC, the reaction mixture was cooled down to room temperature, extracted with ethyl acetate (25 ml× 2) and washed with H_2O (50 ml × 2). The organic layer was dried over anhydrous Na_2SO_4, concentrated via rotary evaporation, and purified by column chromatography on silica gel (petroleum ether: ethyl acetate) as a Solid.

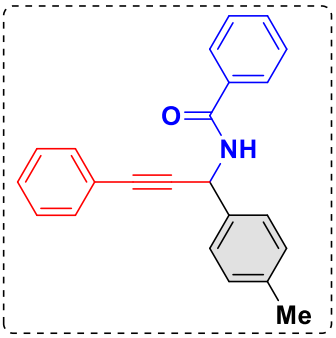
NMR Characterization data:

N-(1,3-diphenylprop-2-yn-1-yl) benzamide (4a):



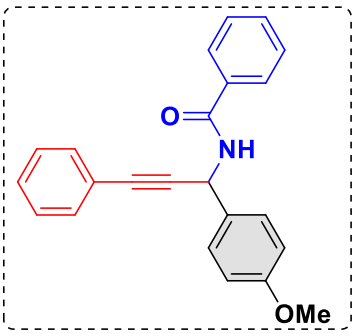
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (28.7mg, 92%) as a white solid. **M.p**. 145–147 ^{0}C. **^{1}H NMR** (400 MHz, DMSO) δ 9.56 (d, *J* = 8.4 Hz, 1H), 7.99 – 7.93 (m, 2H), 7.62 (d, *J* = 7.3 Hz, 2H), 7.58 – 7.54 (m, 1H), 7.52 – 7.46 (m, 4H), 7.45 – 7.39 (m, 5H), 7.34 (dd, *J* = 8.2, 6.4 Hz, 1H), 6.41 (d, *J* = 8.4 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 165.96 (s), 140.05 (s), 134.07 (s), 132.08 (s), 131.96 (s), 129.26 (s), 129.19 (s), 129.04 (s), 128.79 (s), 128.21 (s), 128.10 (s), 127.43 (s), 122.51 (s), 88.98 (s), 84.10 (s), 44.94 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{18}NO$ $[M+H]^+$ 312.1388: found: 312.1387.

N-(3-phenyl-1-(p-tolyl) prop-2-yn-1-yl) benzamide (4b):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (29.6mg, 91%) as a white solid. **M.p.**160–162 °C. **^{1}H NMR** (400 MHz, DMSO) δ 9.54 (d, *J* = 8.4 Hz, 1H), 7.95 (d, *J* = 7.3 Hz, 2H), 7.59 (t, *J* = 10.5 Hz, 2H), 7.52 – 7.32 (m, 8H), 7.21 (d, *J* = 8.0 Hz, 2H), 6.38 (d, *J* = 8.4 Hz, 1H), 2.32 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 165.92 (s), 140.17 (s), 138.98 (s), 134.07 (s), 132.07 (s), 131.87 (s), 129.80 (s), 129.03 (s), 128.79 (s), 128.18 (s), 128.09 (s), 127.41 (s), 119.49 (s), 88.27 (s), 84.21 (s), 44.94 (s), 21.47 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{20}NO$ $[M+H]^+$ 326.1545: found: 326.1554.

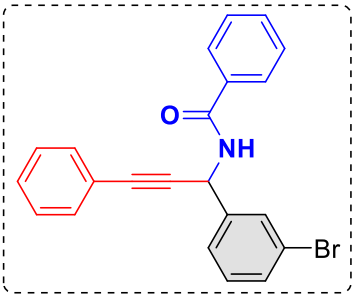
N-(1-(4-methoxyphenyl)-3-phenylprop-2-yn-1-yl) benzamide (4c):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (30 mg, 88%) as a white solid. **M.p.** 148–150 °C. **^{1}H NMR** (400 MHz, DMSO) δ 12.81 (s, 1H), 8.12 (d, *J* = 7.3 Hz, 2H), 8.06 – 8.00 (m, 2H), 7.71 (t, *J* = 7.3 Hz, 1H), 7.67 – 7.61 (m, 5H), 7.55 (t, *J* = 7.6 Hz, 2H), 7.01 (d, *J* = 8.8 Hz, 2H), 6.72 (s, 1H), 3.84 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 165.33 (s), 161.47 (s), 155.70 (s), 138.65 (s), 133.89 (s), 133.45 (s), 133.39 (s), 130.03 (s), 129.57 (s), 129.25 (s), 128.54 (s), 128.26 (s), 128.24 (s), 114.00 (s),

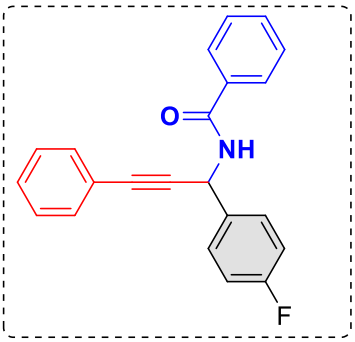
105.68 (s), 55.83 (s). 40.60 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{20}NO_2$ $[M+H]^+$ 342.1494: found: 342.1499.

N-(1-(3-bromophenyl)-3-phenylprop-2-yn-1-yl) benzamide (4d):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (34.7mg, 89%) as a white solid. **M.p.** 162–164 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.59 (d, *J* = 8.3 Hz, 1H), 7.98 – 7.93 (m, 2H), 7.79 (s, 1H), 7.63 (d, *J* = 7.8 Hz, 1H), 7.59 – 7.53 (m, 2H), 7.52 – 7.47 (m, 4H), 7.44 – 7.36 (m, 4H), 6.40 (d, *J* = 8.3 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 165.99 (s), 142.85 (s), 133.87 (s), 132.19 (s), 131.97 (s), 131.32 (s), 131.14 (s), 130.17 (s), 129.40 (s), 129.22 (s), 128.84 (s), 128.09 (s), 126.67 (s), 122.23 (d, *J* = 9.2 Hz), 88.32 (s), 84.48 (s), 44.60 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{17}BrNO$ $[M+H]^+$ 390.0494: found: 390.0503.

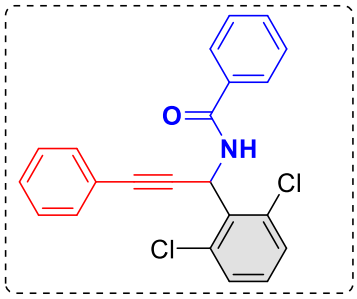
N-(1-(4-fluorophenyl)-3-phenylprop-2-yn-1-yl) benzamide (4e):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (30mg, 91%) as a white solid. **M.p.** 154–156 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.56 (d, *J* = 8.3 Hz, 1H), 7.95 (d, *J* = 7.4 Hz, 2H), 7.71 – 7.62 (m, 2H), 7.60 – 7.45 (m, 5H), 7.44 –

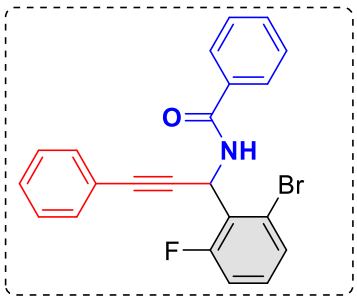
7.36 (m, 3H), 7.25 (t, *J* = 8.8 Hz, 2H), 6.40 (d, *J* = 8.1 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 166.00 (s), 163.32 (s), 160.90 (s), 136.31 (s), 133.98 (s), 132.14 (s), 131.96 (s), 129.56 (d, *J* = 8.4 Hz), 129.19 (s), 128.81 (s), 128.08 (s), 122.39 (s), 115.80 (d, *J* = 21.7 Hz), 88.75 (s), 84.25 (s), 44.41 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{17}FNO$ $[M+H]^+$ 330.1294: found: 330.1300.

N-(1-(2,6-dichlorophenyl)-3-phenylprop-2-yn-1-yl) benzamide (4f):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 04:96); (33.4mg, 88%) as a white solid. **M.p.** 168–170 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.53 (d, *J* = 5.7 Hz, 1H), 7.95 (d, *J* = 7.3 Hz, 2H), 7.59 – 7.47 (m, 7H), 7.45 – 7.35 (m, 4H), 6.87 (d, *J* = 5.7 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 166.22 (s), 134.83 (s), 134.72 (s), 133.77 (s), 132.10 (s), 130.72 (s), 129.89 (s), 129.45 (s), 129.14 (s), 128.69 (s), 128.29 (s), 122.27 (s), 85.58 (s), 84.34 (s), 43.25 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{16}Cl_2NO$ $[M+H]^+$ 380.0609: found: 380.0618.

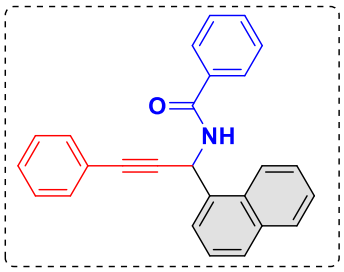
N-(1-(2-bromo-6-fluorophenyl)-3-phenylprop-2-yn-1-yl) benzamide (4g):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 04:96); (36.7mg, 90%) as a white solid. **M.p.** 175–178 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.52

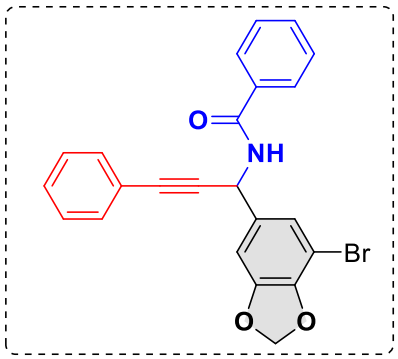
(d, *J* = 6.4 Hz, 1H), 7.96 – 7.90 (m, 2H), 7.58 – 7.50 (m, 2H), 7.50 – 7.44 (m, 4H), 7.42 – 7.28 (m, 5H), 6.64 (d, *J* = 6.3 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 166.31 (s), 162.67 (s), 160.16 (s), 133.71 (s), 132.09 (d, *J* = 11.1 Hz), 131.53 (d, *J* = 9.6 Hz), 129.60 (d, *J* = 3.0 Hz), 129.44 (s), 129.16 (s), 128.71 (s), 128.26 (s), 123.65 (s), 122.21 (s), 116.51 (d, *J* = 22.0 Hz), 86.28 (s), 83.80 (s), 42.84 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{16}BrFNO$ $[M+H]^+$ 408.0399: found: 408.0406.

N-(1-(naphthalen-1-yl)-3-phenylprop-2-yn-1-yl) benzamide (4h):



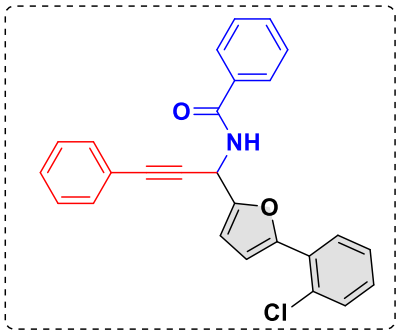
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (32mg, 91%) as a white solid. **M.p.** 158–160 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.64 (d, *J* = 8.2 Hz, 1H), 8.20 (t, *J* = 18.4 Hz, 2H), 8.10 – 7.88 (m, 5H), 7.64 – 7.44 (m, 9H), 7.43 – 7.36 (m, 2H), 7.03 (d, *J* = 8.1 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 165.87 (s), 134.93 (s), 133.99 (s), 133.96 (s), 132.11 (s), 131.96 (s), 130.64 (s), 129.20 (s), 128.78 (s), 128.14 (s), 127.13 (s), 126.44 (s), 125.93 (s), 125.89 (s), 123.61 (s), 122.50 (s), 88.95 (s), 84.49 (s), 42.78 (s). **HRMS** (ESI): m/z calcd. For $C_{26}H_{20}NO$ $[M+H]^+$ 362.1545: found: 362.1555.

N-(1-(7-bromobenzo[d] [1,3] dioxol-5-yl)-3-phenylprop-2-yn-1-yl) benzamide (4i):



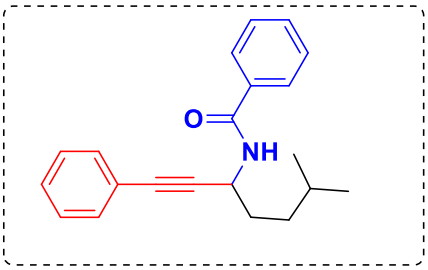
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (35mg, 81%) as a white solid. **M.p.** 182–184 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.49 (d, *J* = 8.0 Hz, 1H), 7.94 (d, *J* = 7.4 Hz, 2H), 7.59 – 7.53 (m, 1H), 7.52 – 7.45 (m, 4H), 7.41 – 7.36 (m, 4H), 7.26 (s, 1H), 6.46 (d, *J* = 7.9 Hz, 1H), 6.10 (d, *J* = 3.5 Hz, 2H). ^{13}C NMR (101 MHz, DMSO) δ 165.84 (s), 148.48 (s), 147.96 (s), 133.90 (s), 133.90 (s), 132.48 (s), 132.16 (s), 131.97 (s), 129.35 (s), 129.18 (s), 128.81 (s), 128.11 (s), 122.26 (s), 113.35 (s), 112.83 (s), 109.01 (s), 102.72 (s), 88.23 (s), 83.75 (s), 45.32 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{17}BrNO_3$ $[M+H]^+$ 434.0392: found: 434.0400.

N-(1-(5-(2-chlorophenyl) furan-2-yl)-3-phenylprop-2-yn-1-yl) benzamide (4j):



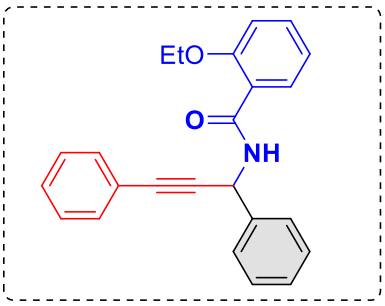
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (34mg, 83%) as a white solid. **M.p.** 172–174 °C. ^{1}H NMR (400 MHz, DMSO) δ 11.99 (s, 1H), 8.10 (d, *J* = 7.4 Hz, 2H), 8.03 (t, *J* = 6.9 Hz, 2H), 7.94 – 7.84 (m, 1H), 7.73 – 7.53 (m, 8H), 7.48 – 7.30 (m, 3H), 7.19 (s, 1H). ^{13}C NMR (101 MHz, DMSO) δ 165.64 (s), 151.89 (s), 148.80 (s), 141.48 (s), 140.14 (s), 138.58 (s), 133.77 (s), 133.53 (s), 133.23 (s), 131.38 (s), 130.30 (s), 130.01 (s), 129.42 (s), 129.25 (s), 128.93 (s), 128.53 (s), 128.33 (s), 128.24 (s), 128.16 (s), 117.08 (s), 113.85 (s), 105.99 (s). **HRMS** (ESI): m/z calcd. For $C_{26}H_{19}ClNO_2$ $[M+H]^+$ 412.1104: found: 212.1107.

N-(6-methyl-1-phenylhept-1-yn-3-yl) benzamide (4k):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 07:93); (27mg, 89%) as a white solid. **M.p.** 150–152 °C. ^{1}H NMR (400 MHz, DMSO) δ 10.78 (d, *J* = 8.4 Hz, 1H), 8.96 (d, *J* = 7.7 Hz, 1H), 8.08 (d, *J* = 7.6 Hz, 2H), 7.92 (d, *J* = 7.1 Hz, 2H), 7.62 – 7.42 (m, 4H), 6.76 (d, *J* = 8.4 Hz, 1H), 5.20 – 4.62 (m, 1H), 1.87 – 1.77 (m, 1H), 1.64 – 1.50 (m, 2H), 1.14 – 1.07 (m, 2H), 0.89 (d, *J* = 5.6 Hz, 6H). ^{13}C NMR (101 MHz, DMSO) δ 167.93 (s), 164.30 (s), 134.25 (s), 134.14 (s), 132.24 (s), 132.06 (s), 131.95 (s), 129.14 (s), 128.77 (s), 127.95 (s), 127.60 (s), 120.27 (s), 47.86 (s), 27.20 (s), 25.80 (s), 25.23 (s), 22.92 (s), 22.53 (s). **HRMS** (ESI): m/z calcd. For $C_{21}H_{24}NO$ $[M+H]^+$ 306.1858: found: 306.1857.

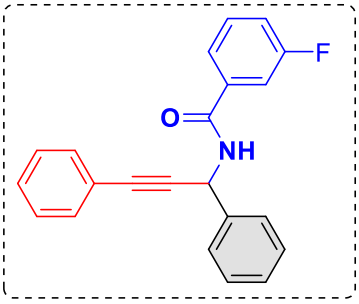
N-(1,3-diphenylprop-2-yn-1-yl)-2-ethoxybenzamide (4l):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (31mg, 88%) as a white solid. **M.p.** 160–162 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.00 (d, *J* = 8.1 Hz, 1H), 7.74 (dd, *J* = 7.7, 1.8 Hz, 1H), 7.62 (d, *J* = 7.4 Hz, 2H), 7.54 – 7.46 (m, 4H), 7.44 – 7.32 (m, 5H), 7.13 (d, *J* = 8.3 Hz, 1H), 7.05 (t, *J* = 7.5 Hz, 1H), 6.29 (d, *J* = 8.0 Hz, 1H), 4.14 (p, *J* = 6.8 Hz, 2H), 1.31 (t, *J* = 6.9 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 164.72 (s), 156.84 (s), 139.90 (s), 133.02 (s), 131.89 (s), 130.78 (s), 129.18 (s), 129.12 (s), 128.33 (s),

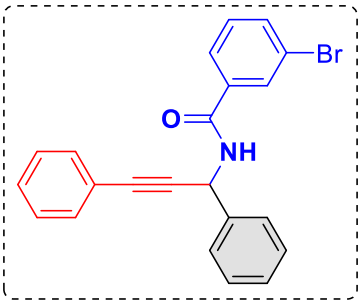
127.31 (s), 122.98 (s), 122.39 (s), 121.06 (s), 113.47 (s), 88.86 (s), 83.96 (s), 55.36 (s), 45.09 (s), 14.89 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{22}NO_2$ $[M+H]^+$ 356.1651: found: 356.1657.

N-(1,3-diphenylprop-2-yn-1-yl)-3-fluorobenzamide (4m):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (27mg, 84%) as a white solid. **M.p.** 155–157 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.65 (d, *J* = 8.3 Hz, 1H), 7.82 (d, *J* = 7.8 Hz, 1H), 7.79 – 7.74 (m, 1H), 7.61 (d, *J* = 7.4 Hz, 2H), 7.58 – 7.49 (m, 1H), 7.51 (m, 2H), 7.46 – 7.39 (m, 6H), 7.37 – 7.31 (m, 1H), 6.38 (d, *J* = 8.3 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 164.60 (d, *J* = 2.3 Hz), 163.63 (s), 161.20 (s), 139.83 (s), 136.37 (d, *J* = 6.9 Hz), 131.97 (s), 131.00 (d, *J* = 7.9 Hz), 129.30 (s), 129.20 (s), 129.07 (s), 128.28 (s), 127.45 (s), 124.32 (d, *J* = 2.8 Hz), 122.44 (s), 119.01 (d, *J* = 21.2 Hz), 114.87 (d, *J* = 22.9 Hz), 88.72 (s), 84.25 (s), 45.13 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{17}FNO$ $[M+H]^+$ 330.1294: found: 330.1297.

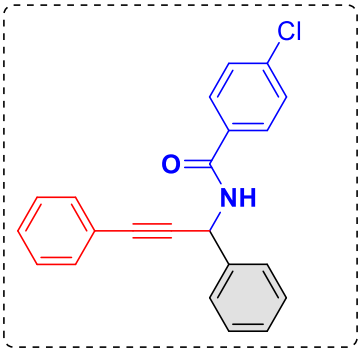
3-bromo-N-(1,3-diphenylprop-2-yn-1-yl) benzamide (4n):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (34mg, 89%) as a white solid. **M.p.** 170–172 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.59 (d, *J* = 8.3 Hz, 1H), 7.99 – 7.93 (m, 2H), 7.79 (s, 1H), 7.62 (t, *J* = 7.5 Hz, 1H), 7.57 (s, 2H),

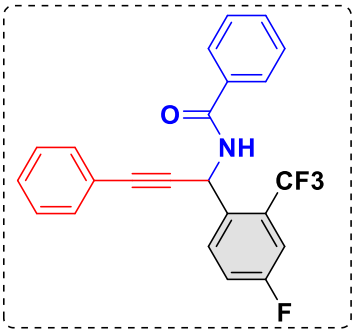
7.51 (dd, *J* = 6.7, 2.9 Hz, 4H), 7.41 (dd, *J* = 5.3, 2.0 Hz, 4H), 6.40 (d, *J* = 8.3 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 165.99 (s), 142.85 (s), 133.87 (s), 132.19 (s), 131.97 (s), 131.32 (s), 131.14 (s), 130.17 (s), 129.40 (s), 129.22 (s), 128.84 (s), 128.09 (s), 126.67 (s), 122.27 (s), 122.18 (s), 88.32 (s), 84.48 (s), 44.60 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{17}BrNO$ $[M+H]^+$ 390.0494: found: 390.0499.

4-chloro-N-(1,3-diphenylprop-2-yn-1-yl) benzamide (4o):



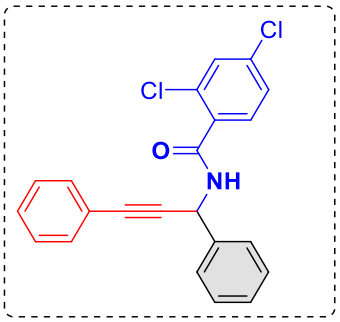
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (30mg, 89%) as a white solid. **M.p.** 168–170 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.68 (d, *J* = 8.3 Hz, 1H), 8.02 (d, *J* = 8.6 Hz, 2H), 7.63 (dd, *J* = 17.2, 8.0 Hz, 4H), 7.56 (dd, *J* = 6.6, 3.0 Hz, 2H), 7.51 – 7.43 (m, 5H), 7.40 (d, *J* = 7.2 Hz, 1H), 6.42 (d, *J* = 8.3 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 164.93 (s), 139.89 (s), 136.92 (s), 132.81 (s), 131.96 (s), 130.06 (s), 129.31 (s), 129.21 (s), 129.07 (s), 128.90 (s), 128.27 (s), 127.44 (s), 122.44 (s), 88.79 (s), 84.20 (s), 45.06 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{17}ClNO$ $[M+H]^+$ 346.0999: found: 346.103.

N-(1-(4-fluoro-2-(trifluoromethyl) phenyl)-3-phenylprop-2-yn-1-yl) benzamide (4p):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 04:96); (33mg, 87%) as a white solid. **M.p**. 210–212 °C. **^{1}H NMR** (400 MHz, DMSO) δ 9.65 (d, *J* = 7.7 Hz, 1H), 8.20 (dd, *J* = 8.3, 5.5 Hz, 1H), 7.96 – 7.87 (m, 2H), 7.71 (dd, *J* = 13.9, 5.7 Hz, 2H), 7.62 – 7.54 (m, 1H), 7.51 – 7.48 (m, 1H), 7.48 – 7.35 (m, 6H), 6.56 (d, *J* = 7.7 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 165.91 (s), 160.49 (s), 134.93 (s), 133.79 (s), 132.82 (d, *J* = 8.3 Hz), 132.24 (s), 131.93 (s), 129.48 (s), 129.20 (s), 128.81 (s), 128.14 (s), 122.05 (s), 120.76 (s), 120.54 (s), 114.21 (d, *J* = 25.7 Hz), 88.20 (s), 84.31 (s), 41.50 (s). **^{19}F NMR** (377 MHz, DMSO) δ -58.16 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{16}F_4NO$ $[M+H]^+$ 398.1168: found: 398.1175.

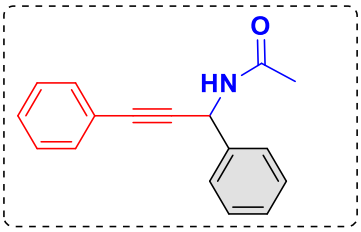
2,4-dichloro-N-(1,3-diphenylprop-2-yn-1-yl) benzamide (4q):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 04:96); (33mg, 88%) as a white solid. **M.p**. 172–174 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.49 (d, *J* = 5.7 Hz, 1H), 7.86 (dd, *J* = 47.4, 7.2 Hz, 2H), 7.50 (tt, *J* = 14.9, 7.4 Hz, 7H), 7.40 – 7.33 (m, 4H), 6.84 (d, *J* = 5.7 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 166.23 (s), 134.83 (s), 134.72

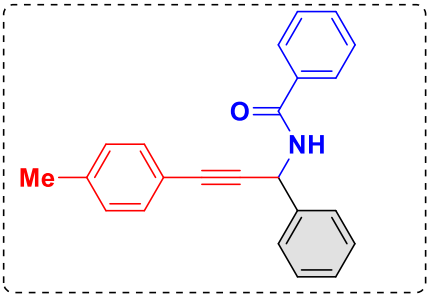
(s), 133.77 (s), 132.09 (s), 130.72 (s), 129.88 (s), 129.45 (s), 129.14 (s), 128.69 (s), 128.29 (s), 122.27 (s), 85.58 (s), 84.34 (s), 43.25 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H16Cl_2NO$ [M+H] $^+$ 380.0609: found: 380.0607.

N-(1,3-diphenylprop-2-yn-1-yl) acetamide (4r):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 07:93); (22mg, 90%) as a white solid. **M.p**. 142–144 °C. ^{1}H NMR (400 MHz, DMSO) δ 8.97 (d, *J* = 8.4 Hz, 1H), 7.54 – 7.45 (m, 4H), 7.43 – 7.38 (m, 5H), 7.35 – 7.30 (m, 1H), 6.09 (d, *J* = 8.4 Hz, 1H), 1.91 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 168.88 (s), 140.13 (s), 131.90 (s), 129.25 (s), 129.19 (s), 129.07 (s), 128.20 (s), 127.29 (s), 122.41 (s), 89.13 (s), 83.78 (s), 44.32 (s), 22.84 (s). **HRMS** (ESI): m/z calcd. For $C_{17}H_{16}NO$ [M+H] $^+$ 250.1232: found: 250.1232.

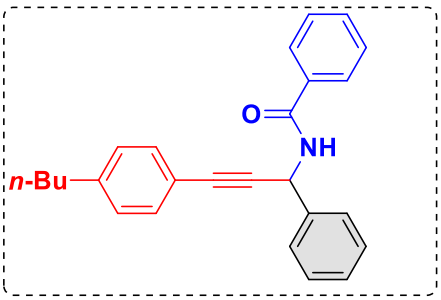
N-(1-phenyl-3-(p-tolyl) prop-2-yn-1-yl) benzamide (4s):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (29mg, 92%) as a white solid. **M.p**. 158–162 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.54 (d, *J* = 8.4 Hz, 1H), 7.99 – 7.92 (m, 2H), 7.58 (dd, *J* = 20.5, 7.4 Hz, 4H), 7.49 (t, *J* = 7.4 Hz, 2H), 7.41 (dd, *J* = 15.6, 7.7 Hz, 5H), 7.35 (d, *J* = 7.3 Hz, 1H), 7.21 (d, *J* = 8.0 Hz, 2H), 6.38

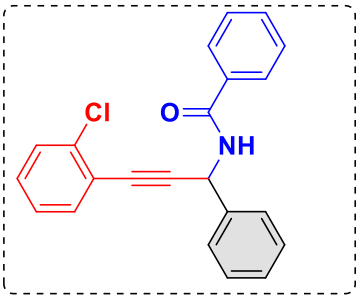
(d, *J* = 8.3 Hz, 1H), 2.32 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 165.93 (s), 140.17 (s), 138.98 (s), 134.08 (s), 132.07 (s), 131.86 (s), 129.80 (s), 129.03 (s), 128.79 (s), 128.18 (s), 128.09 (s), 127.41 (s), 119.49 (s), 88.27 (s), 84.21 (s), 44.95 (s), 21.47 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{20}NO$ $[M+H]^+$ 326.1545: found: 326.1545.

N-(3-(4-butylphenyl)-1-phenylprop-2-yn-1-yl) benzamide (4t):



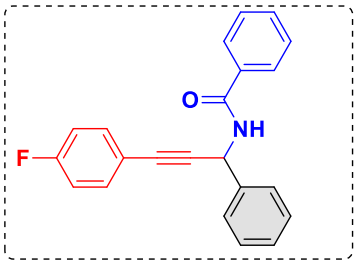
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (33mg, 91%) as a white solid. **M.p**. 168–171 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.54 (d, *J* = 8.4 Hz, 1H), 7.95 (dd, *J* = 6.6, 5.2 Hz, 2H), 7.62 – 7.52 (m, 4H), 7.41 (dd, *J* = 8.0, 1.8 Hz, 4H), 7.33 (dd, *J* = 14.2, 6.9 Hz, 2H), 7.21 (d, *J* = 8.1 Hz, 2H), 6.39 (d, *J* = 8.4 Hz, 1H), 2.59 (dd, *J* = 14.0, 6.5 Hz, 2H), 1.67 – 1.42 (m, 2H), 1.38 – 1.14 (m, 2H), 0.88 (t, *J* = 7.3 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 165.95 (s), 143.78 (s), 140.14 (s), 134.07 (s), 132.08 (s), 131.88 (s), 129.12 (s), 129.02 (s), 128.79 (s), 128.08 (s), 127.41 (s), 127.08 (s), 119.72 (s), 88.27 (s), 84.25 (s), 44.96 (s), 35.10 (s), 33.27 (s), 22.13 (s), 14.20 (s). **HRMS** (ESI): m/z calcd. For $C_{26}H_{26}NO$ $[M+H]^+$ 368.2014: found: 368.2020.

N-(3-(2-chlorophenyl)-1-phenylprop-2-yn-1-yl) benzamide (4u):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (30mg, 89%) as a white solid. **M.p**. 160–164 °C. **^{1}H NMR** (400 MHz, DMSO) δ 9.57 (d, *J* = 8.3 Hz, 1H), 7.96 (d, *J* = 7.2 Hz, 2H), 7.61 (d, *J* = 7.5 Hz, 2H), 7.53 (dd, *J* = 15.8, 7.1 Hz, 4H), 7.49 – 7.39 (m, 5H), 7.35 (d, *J* = 7.3 Hz, 1H), 6.41 (d, *J* = 8.3 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 166.01 (s), 139.85 (s), 134.00 (s), 133.72 (s), 132.12 (s), 129.35 (s), 129.07 (s), 128.81 (s), 128.27 (s), 128.09 (s), 127.42 (s), 121.37 (s), 90.11 (s), 82.99 (s), 44.97 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{17}ClNO$ $[M+H]^+$ 346.0999: found: 346.1000.

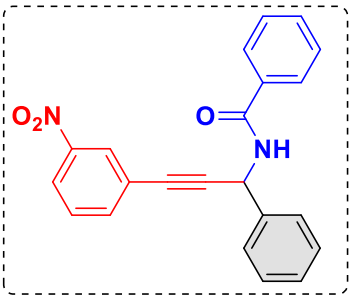
N-(3-(4-fluorophenyl)-1-phenylprop-2-yn-1-yl) benzamide (4v):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (28mg, 85%) as a white solid. **M.p**. 168–170 °C. ^{1}H NMR (400 MHz, DMSO) δ 9.56 (d, *J* = 8.3 Hz, 1H), 7.93 (dd, *J* = 25.3, 8.3 Hz, 2H), 7.65 – 7.53 (m, 5H), 7.49 (t, *J* = 7.5 Hz, 2H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.35 (d, *J* = 7.1 Hz, 1H), 7.25 (t, *J* = 8.7 Hz, 2H), 6.40 (d, *J* = 8.3 Hz, 1H). ^{13}C NMR (101 MHz, DMSO) δ 165.96 (s), 163.71 (s), 161.25 (s), 139.99 (s), 134.35 (s), 134.26 (s), 134.06 (s), 132.08 (s), 129.04 (s), 128.79 (s), 128.22 (s), 128.09 (s), 127.43 (s), 118.95 (d, *J* = 3.2 Hz), 116.43 (d, *J* = 22.1 Hz), 88.73 (s), 83.08 (s), 44.92 (s). ^{19}F NMR (377

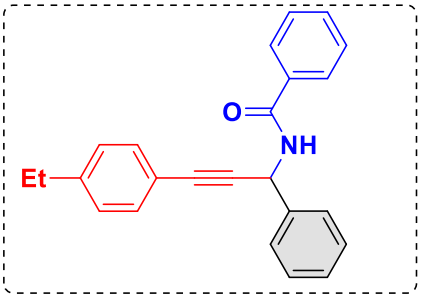
MHz, DMSO) δ -106.71 – -115.78 (m). **HRMS** (ESI): m/z calcd. For $C_{22}H_{17}FNO$ $[M+H]^+$ 330.1294: found: 330.1297.

N-(3-(4-nitrophenyl)-1-phenylprop-2-yn-1-yl) benzamide (4w):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 07:93); (30.6mg, 86%) as a white solid. **M.p.** 174–176 °C. **^{1}H NMR** (400 MHz, DMSO) δ 9.71 (d, *J* = 8.2 Hz, 1H), 8.31 (dd, *J* = 7.5, 5.7 Hz, 2H), 8.09 (s, 1H), 7.98 – 7.92 (m, 2H), 7.88 (d, *J* = 8.5 Hz, 2H), 7.59 – 7.48 (m, 4H), 7.42 (dd, *J* = 5.2, 1.8 Hz, 2H), 7.37 – 7.32 (m, 1H), 6.52 (d, *J* = 8.1 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 166.12 (s), 160.08 (s), 149.38 (s), 147.47 (s), 146.88 (s), 136.94 (s), 133.76 (s), 132.03 (s), 129.71 (s), 129.22 (s), 128.78 (s), 128.12 (s), 126.47 (s), 124.31 (s), 122.15 (s), 87.77 (s), 84.94 (s), 44.76 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{17}N_2O_3$ $[M+H]^+$ 357.1239: found: 357.1242.

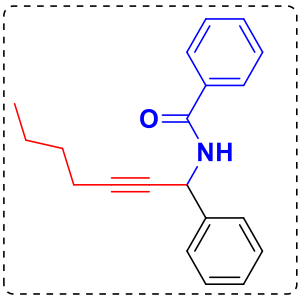
N-(3-(4-ethylphenyl)-1-phenylprop-2-yn-1-yl) benzamide (4x):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 05:95); (30mg, 90%) as a white solid. **M.p. 164–167 °C.** ^{1}H NMR (400 MHz, DMSO) δ 9.54

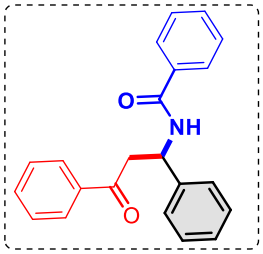
(d, *J* = 8.4 Hz, 1H), 8.32 (s, 1H), 7.95 (d, *J* = 7.2 Hz, 2H), 7.65 – 7.53 (m, 3H), 7.48 (t, *J* = 7.4 Hz, 2H), 7.42 (dd, *J* = 7.5, 5.6 Hz, 4H), 7.33 (t, *J* = 7.3 Hz, 1H), 7.27 – 7.19 (m, 1H), 6.39 (d, *J* = 8.4 Hz, 1H), 2.62 (dd, *J* = 15.1, 7.5 Hz, 2H), 1.17 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 165.92 (s), 145.14 (s), 140.16 (s), 134.08 (s), 132.05 (s), 131.95 (s), 129.01 (s), 128.77 (s), 128.59 (s), 128.16 (s), 128.09 (s), 127.41 (s), 119.76 (s), 88.27 (s), 84.22 (s), 44.94 (s), 28.49 (s), 15.71 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{22}NO$ $[M+H]^+$ 340.1701: found: 340.1701.

N-(1-phenylhept-2-yn-1-yl) benzamide (4y):



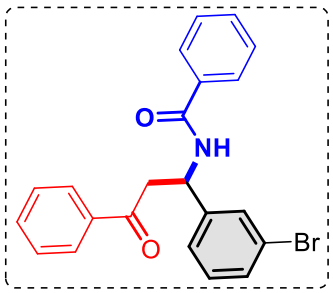
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 07:93); (26mg, 91%) as a white solid. **M.p.** 148–151 °C. ^{1}H NMR (400 MHz, DMSO) δ 8.92 (d, *J* = 8.5 Hz, 1H), 7.53 – 7.49 (m, 2H), 7.49 – 7.44 (m, 2H), 7.43 – 7.37 (m, 5H), 7.35 – 7.30 (m, 1H), 6.11 (d, *J* = 8.5 Hz, 1H), 2.18 (t, *J* = 7.4 Hz, 2H), 1.52 (dd, *J* = 10.4, 4.6 Hz, 2H), 1.36 – 1.16 (m, 2H), 0.87 (t, *J* = 7.3 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 171.85 (s), 140.20 (s), 131.88 (s), 129.22 (s), 129.18 (s), 129.03 (s), 128.13 (s), 127.22 (s), 122.48 (s), 89.22 (s), 83.75 (s), 44.17 (s), 35.22 (s), 27.81 (s), 22.23 (s), 14.18 (s). **HRMS** (ESI): m/z calcd. For $C_{20}H_{22}NO$ $[M+H]^+$ 292.1701: found: 292.1707.

N-(3-oxo-1,3-diphenylpropyl) benzamide (5a):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 18:82); (28.6mg, 87%) as a white solid. **M.p**., 150-152 ºC (lit.[1] 153-155 ºC). **^{1}H NMR** (400 MHz, DMSO) δ 8.83 (d, *J* = 7.7 Hz, 1H), 8.00 (d, *J* = 8.5 Hz, 3H), 7.81 (d, *J* = 7.2 Hz, 2H), 7.60 (d, *J* = 8.5 Hz, 2H), 7.52 (t, *J* = 7.2 Hz, 1H), 7.46 (d, *J* = 7.5 Hz, 4H), 7.33 (d, *J* = 7.7 Hz, 2H), 7.24 (t, *J* = 7.3 Hz, 1H), 5.62 (td, *J* = 9.2, 4.7 Hz, 1H), 3.81 (dd, *J* = 17.3, 9.6 Hz, 1H), 3.47 (dd, *J* = 17.3, 4.6 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 196.68 (s), 166.11 (s), 143.66 (s), 138.60 (s), 135.77 (s), 134.91 (s), 131.68 (s), 130.42 (s), 129.30 (s), 128.77 (s), 128.70 (s), 127.72 (s), 127.35 (s), 127.07 (s), 49.84 (s), 44.88 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{20}NO_2$ $[M+H]^+$ 330.1494: found: 330.1494.

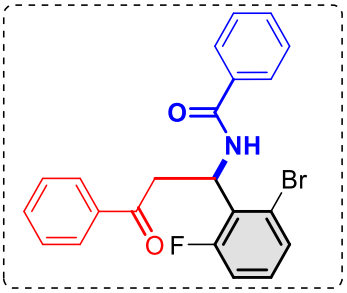
N-(1-(3-bromophenyl)-3-oxo-3-phenylpropyl) benzamide (5b):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 17:83); (35mg, 86%) as a white solid. **M.p**. 140-144 ºC. ^{1}H NMR (400 MHz, DMSO) δ 8.88 (d, *J* = 7.5 Hz, 1H), 8.03 – 7.95 (m, 2H), 7.85 – 7.78 (m, 2H), 7.67 (d, *J* = 1.7 Hz, 2H), 7.53 (t, *J* = 7.7 Hz, 3H), 7.46 (t, *J* = 7.7 Hz, 4H), 7.31 (t, *J* = 7.8 Hz, 1H), 5.61 (dt, *J* = 12.0, 4.7 Hz, 1H), 3.85 (dd, *J* = 17.5, 9.5 Hz, 1H), 3.57 – 3.43 (m, 1H). ^{13}C NMR (101 MHz, DMSO) δ

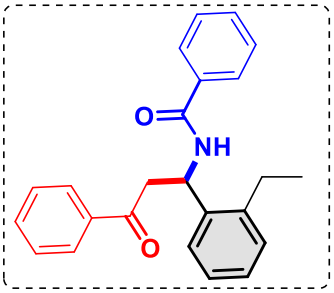
197.27 (s), 166.18 (s), 146.68 (s), 136.99 (s), 134.71 (s), 133.77 (s), 131.80 (s), 131.02 (s), 130.23 (s), 129.90 (s), 129.20 (s), 128.75 (s), 128.50 (s), 127.75 (s), 126.36 (s), 122.12 (s), 49.54 (s), 44.60 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{19}BrNO_2$ $[M+H]^+$ 408.0599: found: 408.0607.

N-(1-(2-bromo-6-fluorophenyl)-3-oxo-3-phenylpropyl) benzamide (5c):



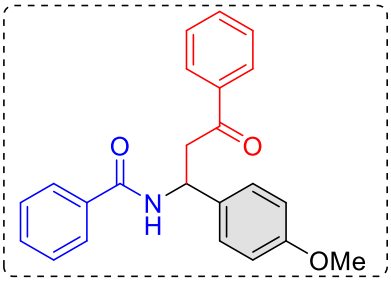
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 15:85); (34mg, 81%) as a white solid. **M.p**. 152-158 °C. **^{1}H NMR** (400 MHz, DMSO) δ 8.88 (d, *J* = 6.0 Hz, 1H), 8.12 – 7.94 (m, 2H), 7.87 – 7.77 (m, 2H), 7.65 (t, *J* = 7.4 Hz, 1H), 7.60 – 7.41 (m, 6H), 7.33 – 7.12 (m, 2H), 6.16 – 5.97 (m, 1H), 4.25 – 4.03 (m, 1H), 3.39 (t, *J* = 4.4 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 196.51 (s), 166.17 (s), 163.01 (s), 160.52 (s), 136.87 (s), 134.67 (s), 133.74 (s), 131.69 (s), 130.35 (d, *J* = 9.6 Hz), 129.96 (d, *J* = 13.4 Hz), 129.46 (s), 129.15 (s), 128.66 (s), 128.48 (s), 127.85 (s), 116.22 (d, *J* = 22.7 Hz), 47.65 (s), 41.23 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{18}BrFNO_2$ $[M+H]^+$ 426.0505: found: 426.0510.

N-(1-(2-ethylphenyl)-3-oxo-3-phenylpropyl) benzamide (5d):



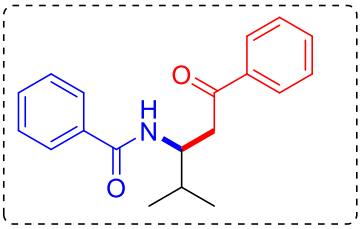
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 15:85); (31mg, 87%) as a white solid. **M.p**. 178-183 °C. ^{1}H NMR (400 MHz, DMSO) δ 8.82 (d, *J* = 7.5 Hz, 1H), 8.01 – 7.96 (m, 2H), 7.84 – 7.77 (m, 2H), 7.64 (dd, *J* = 10.5, 4.3 Hz, 1H), 7.58 – 7.49 (m, 4H), 7.47 – 7.41 (m, 2H), 7.22 – 7.14 (m, 3H), 5.91 (ddd, *J* = 9.8, 7.6, 4.0 Hz, 1H), 3.86 (dd, *J* = 17.5, 9.8 Hz, 1H), 3.29 (dd, *J* = 17.5, 4.1 Hz, 1H), 2.85 – 2.76 (m, 2H), 1.25 (t, *J* = 7.5 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 197.65 (s), 165.94 (s), 141.62 (s), 141.12 (s), 137.08 (s), 134.94 (s), 133.74 (s), 131.62 (s), 129.18 (s), 128.86 (s), 128.67 (s), 128.52 (s), 127.73 (s), 127.39 (s), 126.64 (s), 126.51 (s), 45.81 (s), 44.70 (s), 25.40 (s), 15.75 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{24}NO_2$ $[M+H]^+$ 358.1807: found: 358.1807.

N-(1-(4-methoxyphenyl)-3-oxo-3-phenylpropyl) benzamide (5e):



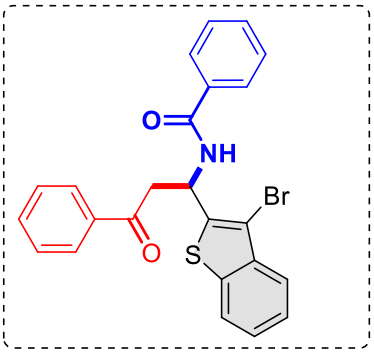
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 15:85); (30.6mg, 85%) as a white solid. **M.p.**167-170 ^{0}C. **^{1}H NMR** (400 MHz, DMSO) δ 8.77 (d, *J* = 7.9 Hz, 1H), 8.01 – 7.95 (m, 2H), 7.83 – 7.77 (m, 2H), 7.68 – 7.60 (m, 1H), 7.51 (ddd, *J* = 8.1, 6.4, 4.6 Hz, 3H), 7.47 – 7.42 (m, 2H), 7.38 (t, *J* = 5.8 Hz, 2H), 6.94 – 6.86 (m, 2H), 5.59 (td, *J* = 8.5, 5.3 Hz, 1H), 3.78 (dd, *J* = 17.1, 9.1 Hz, 1H), 3.73 (s, 3H), 3.47 (dd, *J* = 17.1, 5.2 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 197.73 (s), 165.92 (s), 158.63 (s), 137.14 (s), 135.71 (s), 135.03 (s), 133.68 (s), 131.60 (s), 129.20 (s), 128.67 (s), 128.46 (s), 128.28 (s), 127.71 (s), 114.10 (s), 55.52 (s), 49.34 (s), 44.91 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{22}NO_3$ $[M+H]^+$ 360.1600: found: 360.1608.

N-(4-methyl-1-oxo-1-phenylpentan-3-yl) benzamide (5f):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 19:81); (25mg, 86%) as a white solid. **M.p**. 109-114 ℃. **^{1}H NMR** (400 MHz, DMSO) δ 8.20 (d, J = 8.0 Hz, 1H), 7.98 – 7.92 (m, 2H), 7.63 (d, J = 7.4 Hz, 1H), 7.52 (t, J = 7.6 Hz, 2H), 7.35 – 7.28 (m, 4H), 7.23 (dt, J = 9.1, 4.2 Hz, 1H), 5.37 (td, J = 8.4, 5.5 Hz, 1H), 3.54 (dd, J = 16.7, 8.8 Hz, 1H), 3.44 – 3.38 (m, 1H), 2.35 (dt, J = 13.7, 6.8 Hz, 1H), 0.95 (d, J = 4.7 Hz, 3H), 0.94 (d, J = 4.7 Hz, 3H). ^{13}C NMR (101 MHz, DMSO) δ 197.73 (s), 175.67 (s), 143.67 (s), 137.09 (s), 133.69 (s), 129.19 (s), 128.73 (s), 128.49 (s), 127.23 (s), 126.93 (s), 49.22 (s), 45.18 (s), 34.35 (s), 19.96 (s), 19.86 (s). **HRMS** (ESI): m/z calcd. For $C_{19}H_{22}NO_2$ $[M+H]^+$ 296.1651: found: 296.1657.

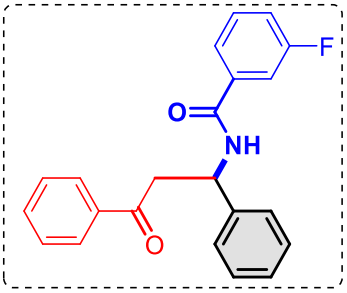
N-(1-(3-bromobenzo[b]thiophen-2-yl)-3-oxo-3-phenylpropyl) benzamide (5g):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 21:79); (36mg, 78%) as a white solid. **M.p**. 191-194 ℃. **^{1}H NMR** (400 MHz, DMSO) δ 9.13 (d, *J* = 6.3 Hz, 1H), 8.02 (dd, *J* = 14.3, 7.7 Hz, 3H), 7.87 – 7.82 (m, 2H), 7.74 (d, *J* = 7.9 Hz,

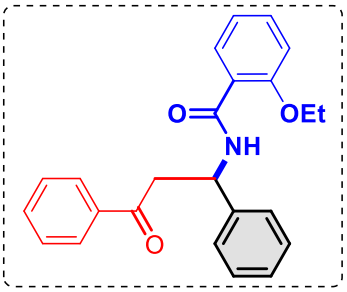
1H), 7.66 (t, *J* = 7.3 Hz, 1H), 7.58 – 7.40 (m, 7H), 6.13 – 5.84 (m, 1H), 4.05 (dd, *J* = 18.0, 10.2 Hz, 1H), 3.60 – 3.49 (m, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 196.41 (s), 166.76 (s), 144.67 (s), 138.25 (s), 136.64 (s), 136.57 (s), 134.38 (s), 133.98 (s), 132.02 (s), 129.26 (s), 128.81 (s), 128.56 (s), 127.84 (s), 125.98 (s), 125.91 (s), 123.55 (s), 122.44 (s), 104.35 (s), 46.63 (s), 43.35 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{19}BrNO_2S$ $[M+H]^+$ 464.0320: found: 464.0323.

3-fluoro-N-(3-oxo-1,3-diphenylpropyl) benzamide (5h):



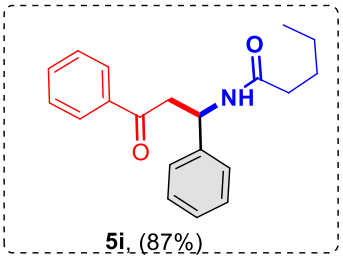
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 18:82); (28mg, 83%) as a white solid. White solid; **M.p**. 155-157 °C. **^{1}H NMR** (400 MHz, DMSO) δ 8.94 (d, *J* = 7.5 Hz, 1H), 7.99 (d, *J* = 8.2 Hz, 2H), 7.70 (d, *J* = 7.7 Hz, 1H), 7.64 (t, *J* = 7.4 Hz, 2H), 7.59 – 7.49 (m, 3H), 7.47 (d, *J* = 7.8 Hz, 2H), 7.37 (dt, *J* = 15.4, 5.1 Hz, 3H), 7.25 (t, *J* = 7.3 Hz, 1H), 5.65 (dt, *J* = 8.1, 4.7 Hz, 1H), 3.83 (dd, *J* = 17.3, 9.4 Hz, 1H), 3.50 (dd, *J* = 17.3, 4.7 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 197.50 (s), 164.73 (s), 163.61 (s), 161.18 (s), 143.54 (s), 137.26 (d, *J* = 6.7 Hz), 137.07 (s), 133.71 (s), 130.91 (d, *J* = 7.9 Hz), 129.19 (s), 128.79 (s), 128.46 (s), 127.38 (s), 127.10 (s), 123.95 (d, *J* = 2.7 Hz), 118.56 (d, *J* = 21.1 Hz), 114.50 (d, *J* = 22.6 Hz), 50.05 (s), 44.81 (s). ^{19}F NMR (377 MHz, DMSO) δ -108.10 – -117.25 (m). **HRMS** (ESI): m/z calcd. For $C_{22}H_{19}FNO_2$ $[M+H]^+$ 348.1400: found: 348.1402.

2-ethoxy-N-(3-oxo-1,3-diphenylpropyl) benzamide (5i):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 21:79); (31mg, 85%) as a white solid. **M.p**. 181-184 ℃. **^{1}H NMR** (400 MHz, DMSO) δ 8.73 (d, *J* = 7.9 Hz, 1H), 8.03 – 7.94 (m, 2H), 7.74 (d, *J* = 7.7 Hz, 1H), 7.66 – 7.58 (m, 1H), 7.56 – 7.41 (m, 5H), 7.34 (dd, *J* = 10.4, 4.7 Hz, 2H), 7.27 – 7.19 (m, 1H), 7.11 (d, *J* = 8.3 Hz, 1H), 7.06 – 6.96 (m, 1H), 5.65 (q, *J* = 6.8 Hz, 1H), 4.18 – 4.04 (m, 2H), 3.83 (dd, *J* = 17.3, 7.3 Hz, 1H), 3.56 (dd, *J* = 17.3, 5.6 Hz, 1H), 1.32 (t, *J* = 6.9 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 198.08 (s), 164.77 (s), 156.78 (s), 142.87 (s), 136.89 (s), 133.86 (s), 133.38 (s), 132.92 (s), 131.01 (s), 129.72 (s), 129.20 (s), 129.05 (s), 128.75 (s), 128.44 (s), 127.39 (s), 127.02 (s), 122.98 (s), 120.99 (s), 113.24 (s), 64.84 (s), 49.85 (s), 44.55 (s), 14.82 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{24}NO_3$ $[M+H]^+$ 374.1756: found: 374.1757.

N-(3-oxo-1,3-diphenylpropyl) pentanamide (5j):

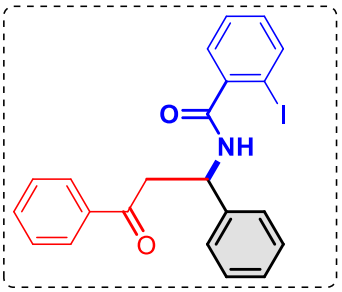


5i, (87%)

The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 20:80); (26mg, 87%) as a white solid. **M.p**. 119-122 ℃. **^{1}H NMR** (400 MHz, DMSO) δ 8.31 (d, *J* = 8.0 Hz, 1H), 7.96 (dd, *J* = 5.1, 3.3 Hz, 2H), 7.63 (ddd, *J* = 6.8, 4.0, 1.2 Hz, 1H), 7.52 (dd, *J* = 10.5, 4.7 Hz, 2H), 7.42 – 7.36 (m, 2H), 7.32 (dd, *J* = 10.3, 4.9 Hz, 2H), 7.23 (ddd, *J* =

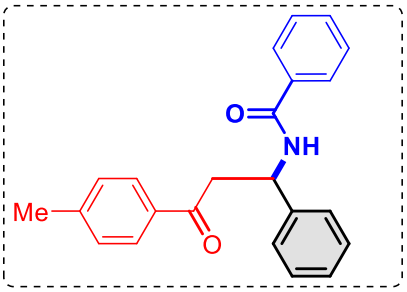
7.2, 3.9, 1.3 Hz, 1H), 5.44 (td, *J* = 8.3, 5.5 Hz, 1H), 3.57 (dd, *J* = 16.8, 8.7 Hz, 1H), 3.41 – 3.35 (m, 1H), 2.07 (t, *J* = 7.4 Hz, 2H), 1.48 – 1.39 (m, 2H), 1.26 – 1.15 (m, 2H), 0.82 (t, *J* = 7.3 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 197.63 (s), 171.82 (s), 143.63 (s), 137.10 (s), 133.65 (s), 129.15 (s), 128.69 (s), 128.48 (s), 127.23 (s), 127.02 (s), 49.37 (s), 45.13 (s), 35.61 (s), 27.92 (s), 22.16 (s), 14.15 (s). **HRMS** (ESI): m/z calcd. For $C_{20}H_{24}NO_2$ $[M+H]^+$ 310.1807: found: 310.1807.

2-iodo-N-(3-oxo-1,3-diphenylpropyl) benzamide (5k):



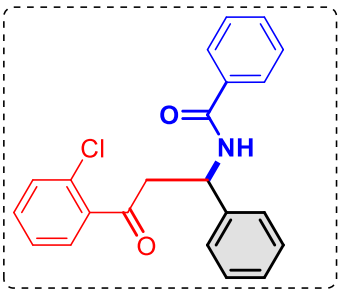
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 19:81); (36mg, 80%) as a white solid. **M.p**. 124-127 ^{o}C. **^{1}H NMR** (400 MHz, DMSO) δ 8.90 (d, *J* = 7.9 Hz, 1H), 7.98 (d, *J* = 7.3 Hz, 2H), 7.86 (d, *J* = 7.5 Hz, 1H), 7.65 (t, *J* = 7.3 Hz, 1H), 7.56 – 7.47 (m, 4H), 7.43 (t, *J* = 7.1 Hz, 3H), 7.36 (t, *J* = 7.5 Hz, 3H), 5.58 (td, *J* = 8.3, 5.5 Hz, 1H), 3.67 (dd, *J* = 17.0, 8.9 Hz, 1H), 3.48 (dd, *J* = 17.0, 5.3 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 197.54 (s), 168.46 (s), 143.31 (s), 143.04 (s), 139.58 (s), 137.14 (s), 133.71 (s), 131.22 (s), 129.21 (s), 128.74 (s), 128.52 (s), 128.40 (s), 127.40 (s), 127.20 (s), 93.74 (s), 49.84 (s), 44.99 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{19}INO_2$ $[M+H]^+$ 456.0460: found: 456.0469.

N-(3-oxo-1-phenyl-3-(p-tolyl) propyl) benzamide (5l):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 19:81); (28.5mg, 81%) as a white solid. **M.p**. 170-173 ºC. ^{1}H NMR (400 MHz, DMSO) δ 8.84 (d, *J* = 7.8 Hz, 1H), 7.88 (d, *J* = 8.2 Hz, 2H), 7.81 (dd, *J* = 5.2, 3.3 Hz, 2H), 7.56 – 7.48 (m, 1H), 7.48 – 7.42 (m, 4H), 7.37 – 7.29 (m, 4H), 7.26 – 7.20 (m, 1H), 5.63 (dd, *J* = 8.1, 3.9 Hz, 1H), 3.78 (dd, *J* = 17.1, 9.3 Hz, 1H), 3.44 (dd, *J* = 17.1, 4.9 Hz, 1H), 2.37 (s, 3H). ^{13}C NMR (101 MHz, DMSO) δ 197.14 (s), 166.08 (s), 144.09 (s), 143.81 (s), 134.91 (s), 134.62 (s), 131.68 (s), 129.74 (s), 128.76 (s), 128.70 (s), 128.60 (s), 127.73 (s), 127.31 (s), 127.08 (s), 49.95 (s), 44.72 (s), 21.60 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{22}NO_2$ $[M+H]^+$ 344.1651: found: 344.1652.

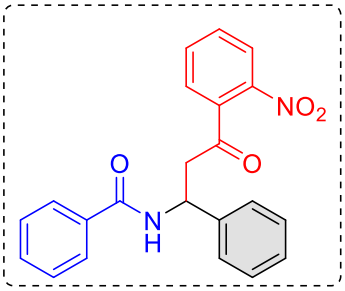
N-(3-(2-chlorophenyl)-3-oxo-1-phenylpropyl) benzamide (5m):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 08:82); (30mg, 84%) as a white solid. **M.p**. 143-146 ºC. **^{1}H NMR** (400 MHz, DMSO) δ 8.91 (d, *J* = 7.7 Hz, 1H), 8.02 (d, *J* = 8.6 Hz, 2H), 7.92 – 7.84 (m, 2H), 7.58 (d, *J* = 8.6 Hz, 2H), 7.51 (td, *J* = 5.7, 2.4 Hz, 3H), 7.45 (t, *J* = 7.3 Hz, 2H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.25 (t, *J* = 7.3 Hz, 1H), 5.72 (td, *J* = 9.1, 4.7 Hz, 1H), 3.88 (dd, *J* = 17.3, 9.5 Hz, 1H), 3.50 (dd, *J* = 17.3, 4.6

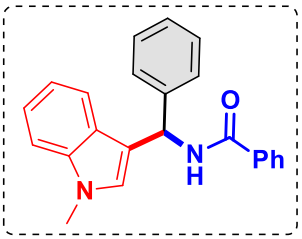
Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 196.63 (s), 166.21 (s), 143.71 (s), 138.69 (s), 135.77 (s), 134.98 (s), 133.28 (s), 131.65 (s), 130.40 (s), 129.77 (s), 129.27 (s), 128.99 (s), 128.78 (s), 128.66 (s), 127.79 (s), 127.36 (s), 127.12 (s), 49.94 (s), 44.93 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{19}ClNO_2$ $[M+H]^+$ 364.1104: found: 364.1107.

N-(3-(3-nitrophenyl)-3-oxo-1-phenylpropyl) benzamide(5n):



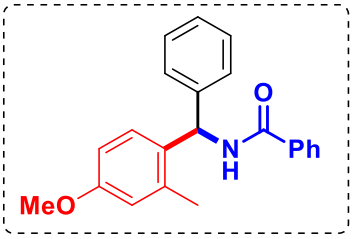
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 08:82); (30.3mg, 81%) as a white solid. **^{1}H NMR** (400 MHz, DMSO) δ 8.89 (d, *J* = 6.0 Hz, 1H), 8.11 – 7.93 (m, 2H), 7.88 – 7.76 (m, 2H), 7.65 (t, *J* = 7.4 Hz, 1H), 7.57 – 7.42 (m, 7H), 7.30 – 7.19 (m, 2H), 6.16 – 5.93 (m, 1H), 4.18 (dd, *J* = 18.1, 10.4 Hz, 1H), 3.37 (dd, *J* = 18.1, 3.6 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 197.54 (s), 168.46 (s), 143.30 (s), 143.04 (s), 139.58 (s), 137.14 (s), 133.71 (s), 131.22 (s), 129.21 (s), 128.74 (s), 128.52 (s), 128.41 (s), 127.40 (s), 127.20 (s), 49.84 (s), 44.99 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{19}N_2O_4$ $[M+H]^+$ 375.1345: found: 375.1349.

N-((1-methyl-1H-indol-3-yl) (phenyl)methyl) benzamide (10):



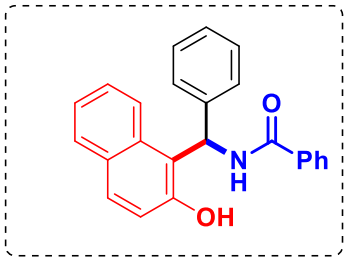
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (30.3mg, 89%) as a white solid. **M.p.** 179–182 °C. **^{1}H NMR** (400 MHz, DMSO) δ 9.23 (d, *J* = 8.6 Hz, 1H), 7.97 – 7.89 (m, 2H), 7.52 (dd, *J* = 10.9, 4.7 Hz, 3H), 7.49 – 7.43 (m, 3H), 7.39 (dd, *J* = 12.9, 5.6 Hz, 3H), 7.30 (d, *J* = 7.3 Hz, 1H), 7.16 (dd, *J* = 11.2, 4.0 Hz, 1H), 7.04 – 6.97 (m, 1H), 6.87 (s, 1H), 6.67 (d, *J* = 8.6 Hz, 1H), 3.73 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 166.12 (s), 143.04 (s), 137.40 (s), 134.96 (s), 131.64 (s), 128.69 (s), 128.64 (s), 128.05 (s), 127.82 (s), 127.35 (s), 126.93 (s), 121.90 (s), 119.34 (d, *J* = 2.6 Hz), 116.10 (s), 110.28 (s), 50.11 (s), 32.79 (s). **HRMS** (ESI): m/z calcd. For $C_{23}H_{21}N_2O$ $[M+H]^+$ 341.1654: found: 341.1657.

N-((2-methoxy-4-methylphenyl) (phenyl) methyl) benzamide (11):



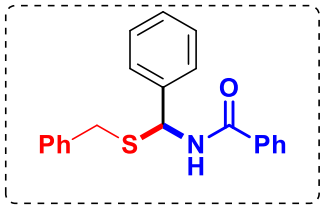
The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (29mg, 88%) as a white solid. **M.p.** 168–171 °C. **^{1}H NMR** (400 MHz, DMSO) δ 9.19 (d, *J* = 8.4 Hz, 1H), 7.95 (d, *J* = 8.2 Hz, 2H), 7.53 (d, *J* = 7.2 Hz, 1H), 7.46 (t, *J* = 7.4 Hz, 2H), 7.38 – 7.32 (m, 5H), 7.27 (dd, *J* = 14.7, 7.2 Hz, 1H), 6.84 – 6.71 (m, 2H), 6.53 (d, *J* = 8.4 Hz, 1H), 3.73 (s, 3H), 2.29 (s, 3H). **^{13}C NMR** (101 MHz, DMSO) δ 166.13 (s), 158.62 (s), 142.52 (s), 137.74 (s), 134.79 (s), 132.97 (s), 131.69 (s), 129.34 (s), 128.72 (s), 128.63 (s), 128.08 (s), 127.32 (s), 116.03 (s), 111.55 (s), 55.44 (s), 53.15 (s), 19.66 (s). **HRMS** (ESI): m/z calcd. For $C_{22}H_{22}NO_2$ $[M+H]^+$ 332.1651: found: 332.1655.

N-((2-hydroxynaphthalen-1-yl) (phenyl) methyl) benzamide (12):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (30.3mg, 86%) as a white solid. **M.p.** 192–195 °C. **^{1}H NMR** (400 MHz, DMSO) δ 10.42 (s, 1H), 9.08 (s, 1H), 8.10 (d, *J* = 7.8 Hz, 1H), 7.93 – 7.72 (m, 4H), 7.52 (dt, *J* = 26.0, 6.6 Hz, 4H), 7.29 (dd, *J* = 17.7, 10.8 Hz, 7H), 7.21 (d, *J* = 6.3 Hz, 1H). **^{13}C NMR** (101 MHz, DMSO) δ 166.23 (s), 153.75 (s), 142.48 (s), 134.78 (s), 132.80 (s), 131.92 (s), 129.85 (s), 129.10 (s), 128.99 (s), 128.82 (s), 128.68 (s), 127.62 (s), 127.24 (s), 127.03 (s), 126.93 (s), 123.14 (s), 119.18 (s), 118.77 (s), 49.70 (s). **HRMS** (ESI): m/z calcd. For $C_{24}H_{20}NO_2$ $[M+H]^+$ 354.1494: found: 354.1500.

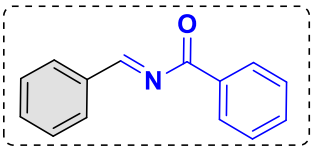
N-((benzylthio)(phenyl)methyl) benzamide (13):



The title compound was purified by column chromatography with the eluent (EtOAc/hexane = 06:94); (27mg, 81%) as a colourless oil. **^{1}H NMR** (400 MHz, DMSO) δ 9.42 (d, *J* = 9.2 Hz, 1H), 7.94 (d, *J* = 7.4 Hz, 2H), 7.57 (t, *J* = 7.3 Hz, 1H), 7.49 (t, *J* = 7.2 Hz, 4H), 7.39 – 7.18 (m, 8H), 6.36 (d, *J* = 9.1 Hz, 1H), 3.92 (d, *J* = 13.3 Hz, 2H). **^{13}C NMR** (101 MHz, DMSO) δ 166.65 (s), 140.28 (s), 138.68 (s), 134.29 (s), 132.00 (s), 129.27 (s), 128.89 (s), 128.86 (s), 128.71 (s), 128.22 (s), 128.19 (s), 127.35 (s), 56.90 (s), 35.83 (s). **HRMS** (ESI): m/z calcd. For $C_{21}H_{20}NOS$ $[M+H]^+$ 334.1266: found: 334.1267.

^{1}H NMR (400 MHz, DMSO) δ 9.07 (s, 1H), 7.92 – 7.86 (m, 2H), 7.57 – 7.45 (m, 4H), 7.35 – 7.29 (m, 4H), 7.28 – 7.21 (m, 1H).

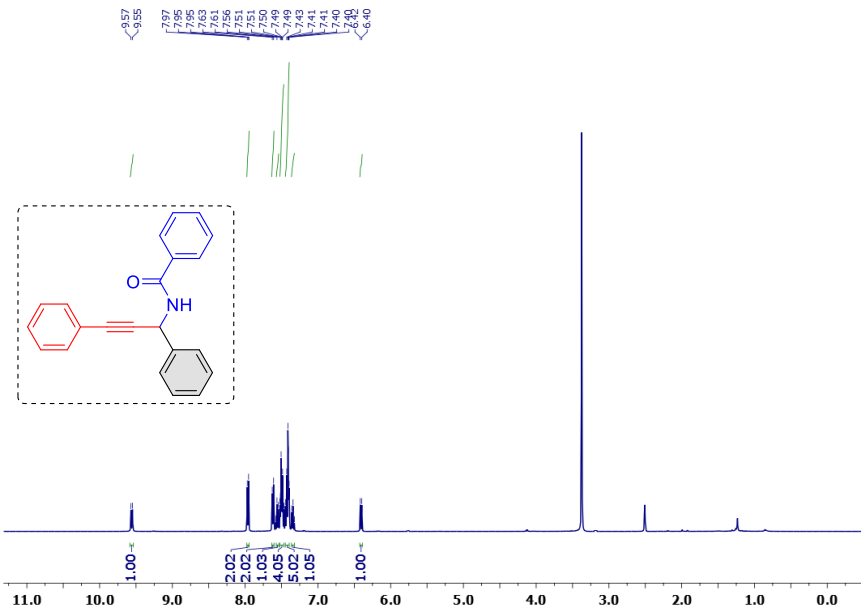
N-benzylidenebenzamide (14):



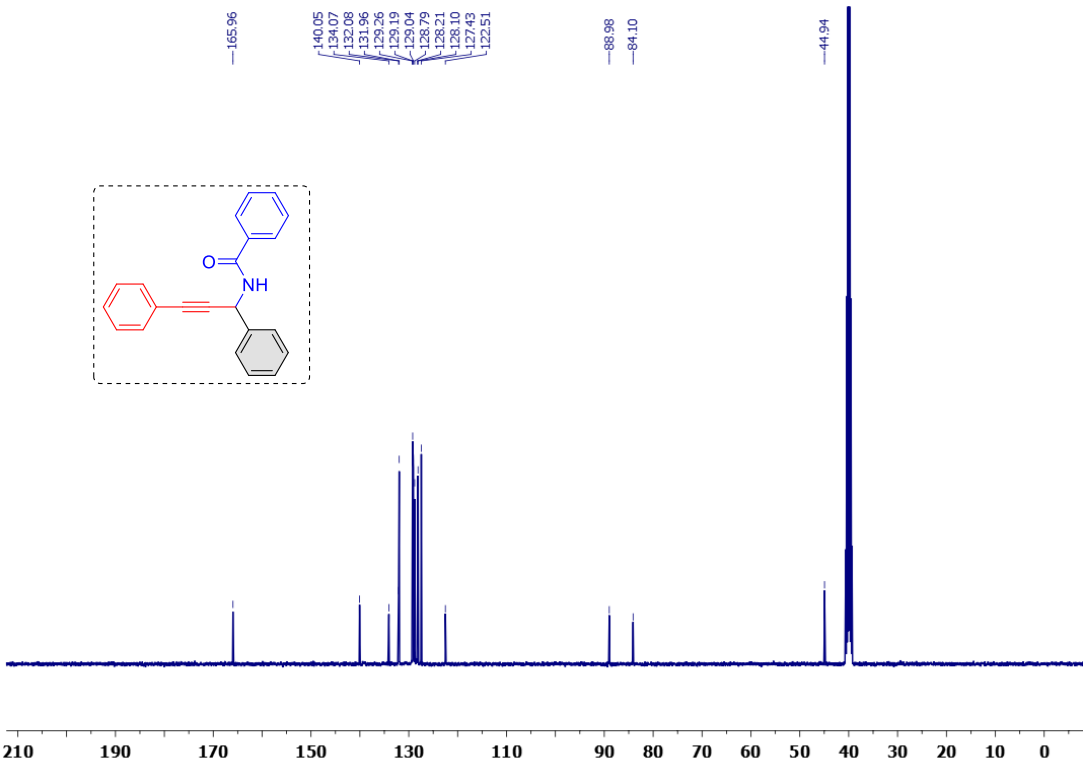
The title compound was purified by column chromatography with the eluent EtOAc/hexane: as a solid. **^{1}H NMR** (400 MHz, DMSO) δ 9.07 (s, 1H), 7.92 – 7.86 (m, 2H), 7.57 – 7.45 (m, 3H), 7.35 – 7.29 (m, 4H), 7.28 – 7.21 (m, 1H). m/z calcd. For $C_{14}H_{12}NO$ $[M+H]^{+}$ 210.09: found: 210.10.

^{1}H NMR, ^{13}C{^{1}H} NMR, and ^{19}F NMR spectra:

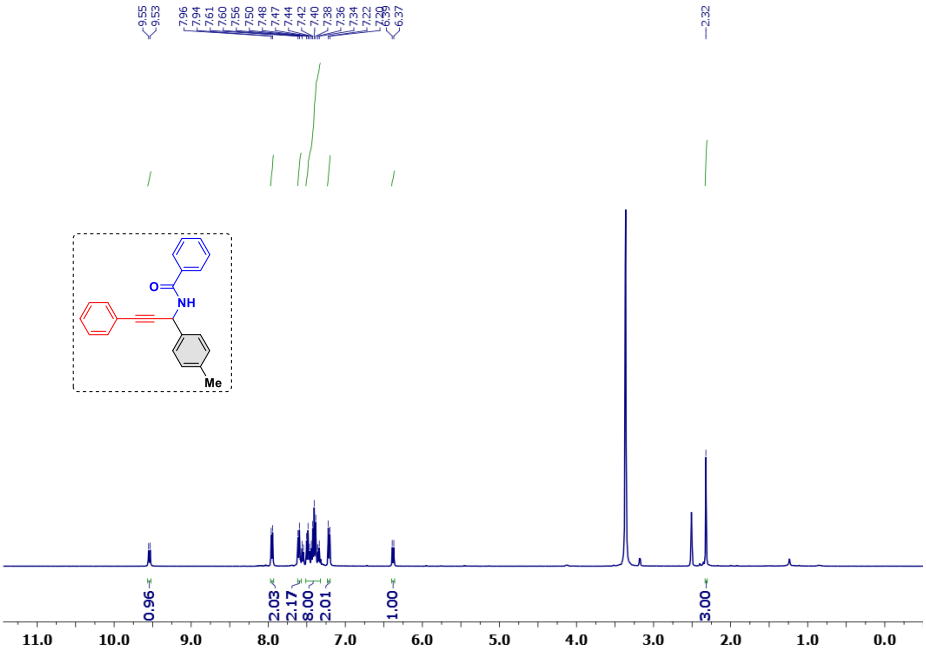
^{1}H NMR (400 MHz, DMSO-d_6) of **4a**



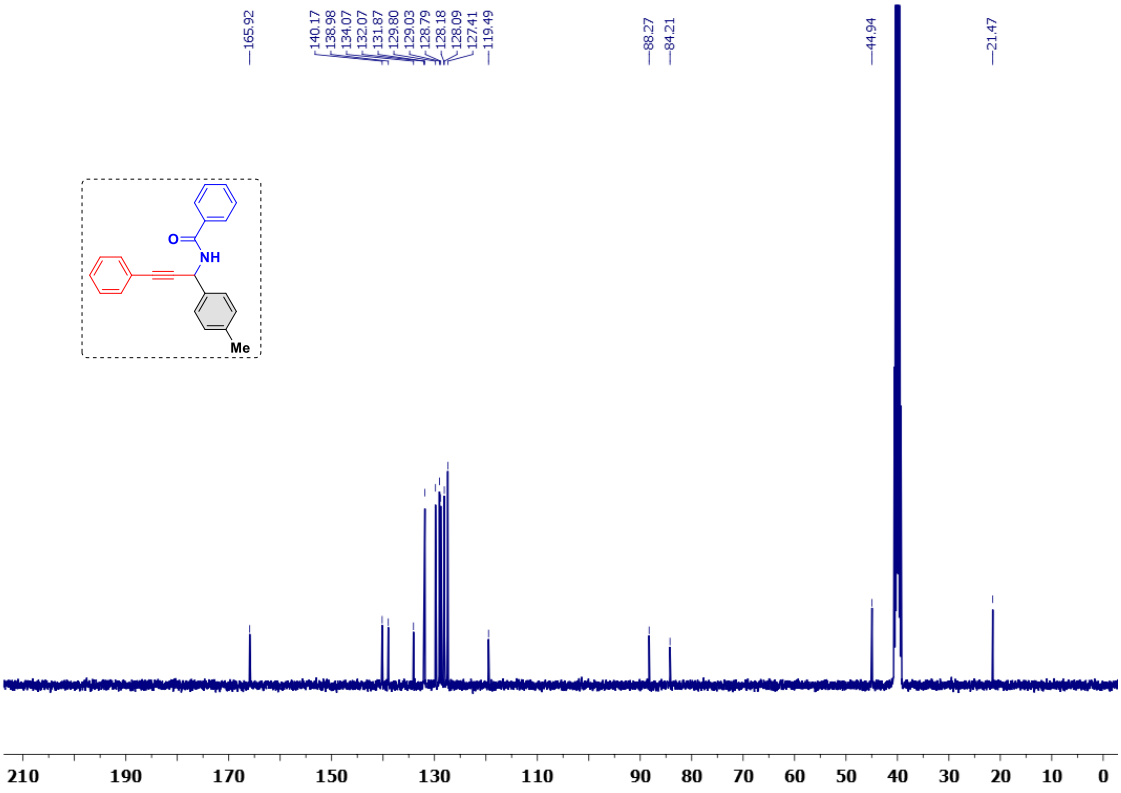
^{13}C NMR (101 MHz, DMSO- d_6) of **4a**



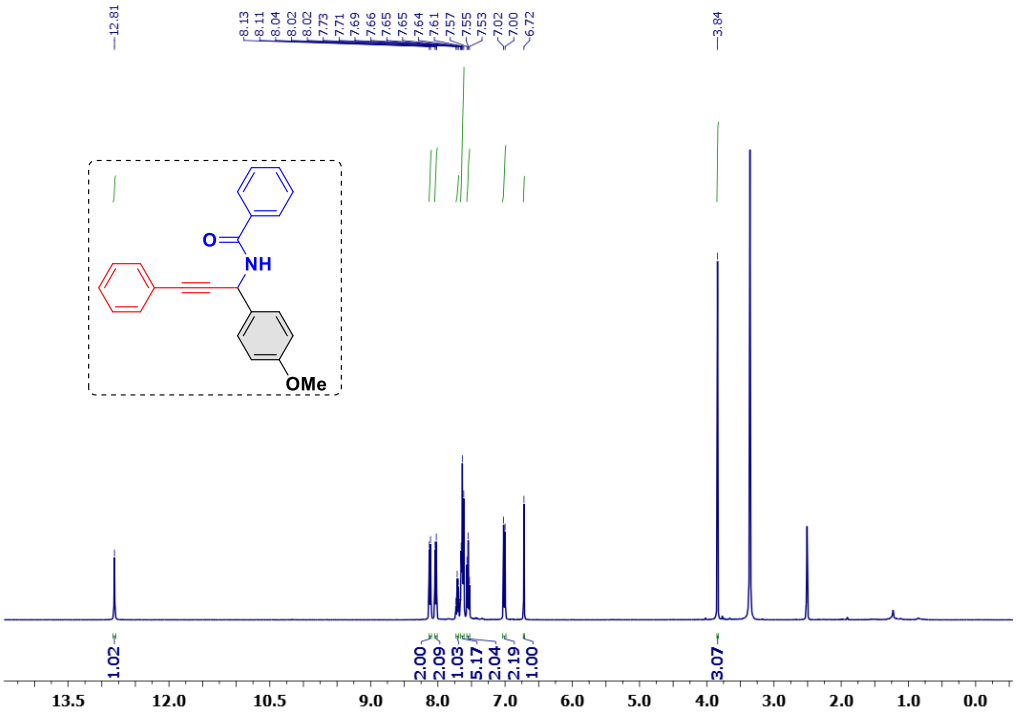
^{1}H NMR (400 MHz, DMSO-d_6) of **4b**



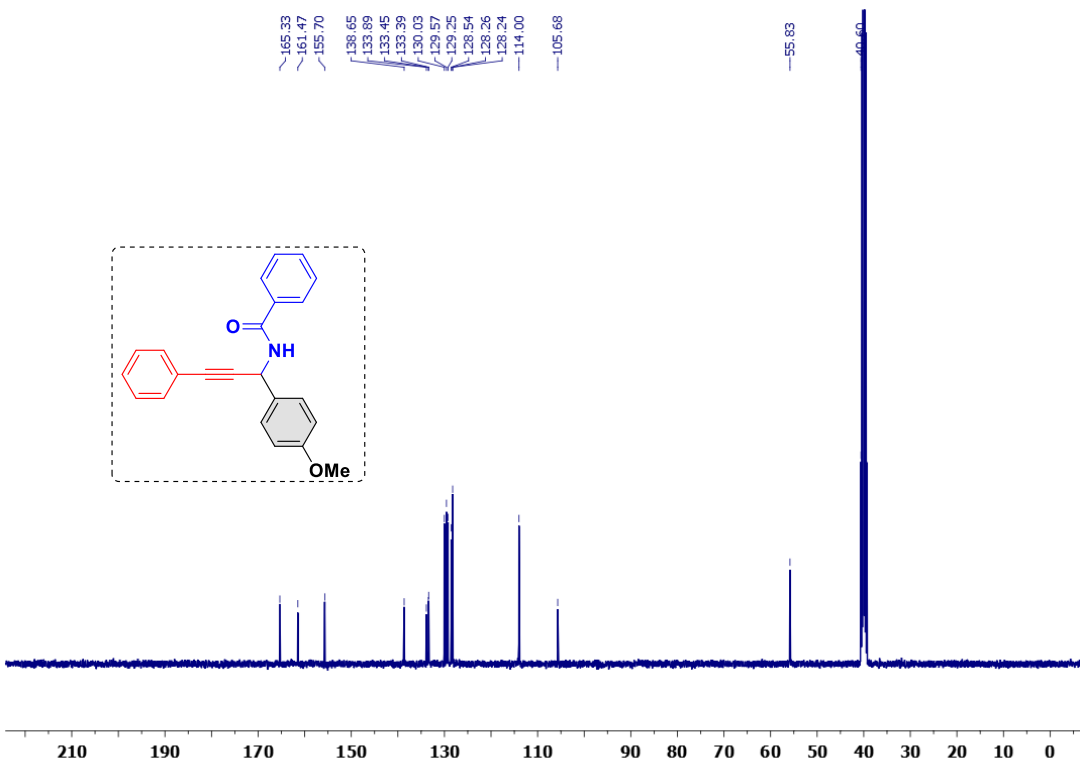
^{13}C NMR (101 MHz, DMSO- d_6) of **4b**



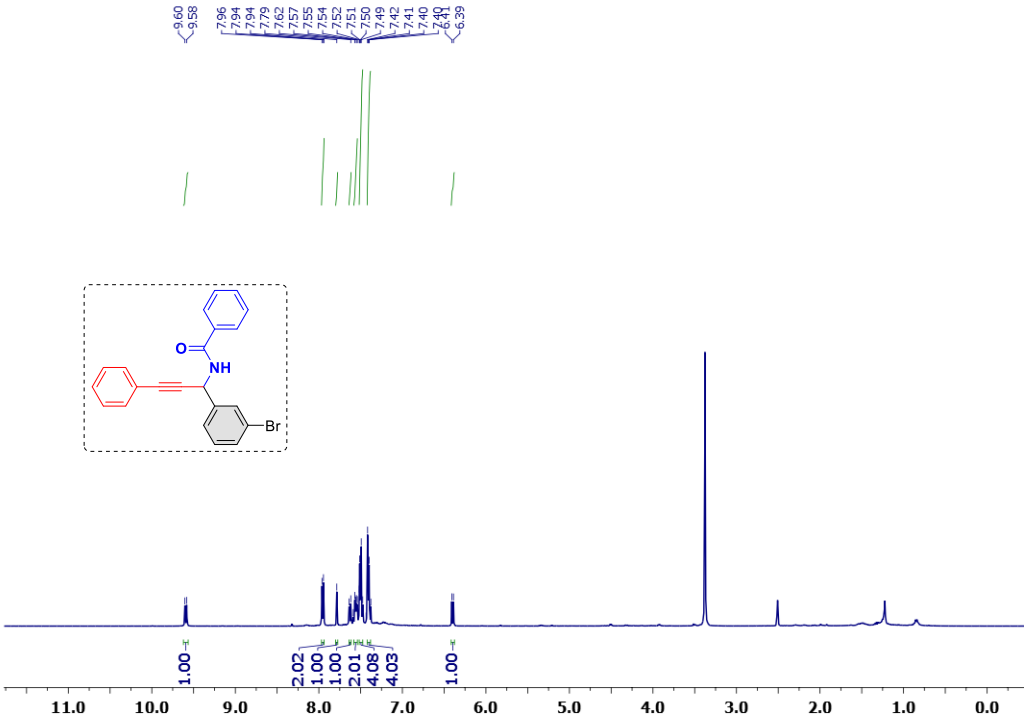
^{1}H NMR (400 MHz, DMSO-d_6) of **4c**



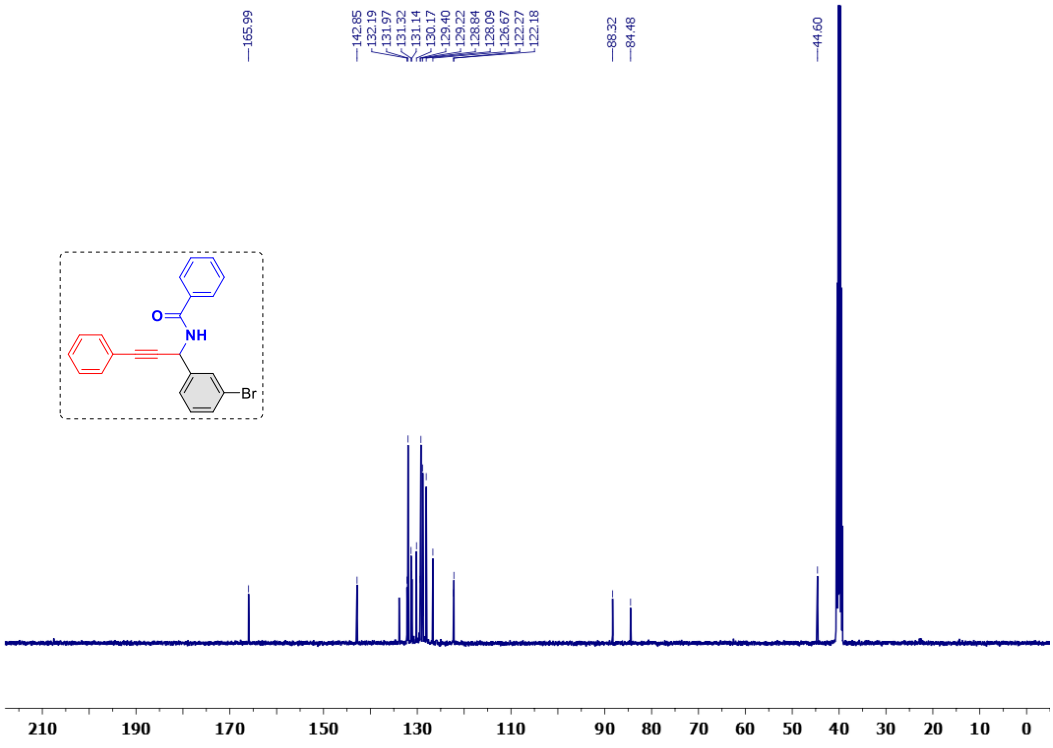
^{13}C NMR (101 MHz, DMSO- d_6) of **4c**



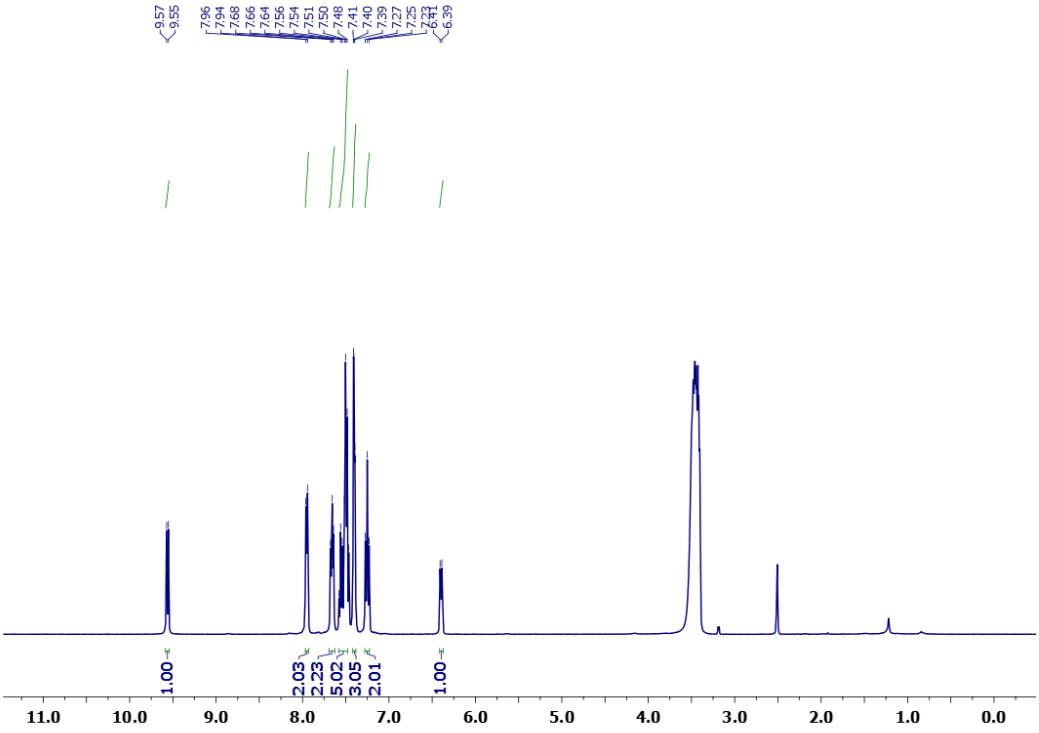
^{1}H NMR (400 MHz, DMSO-d_6) of **4d**



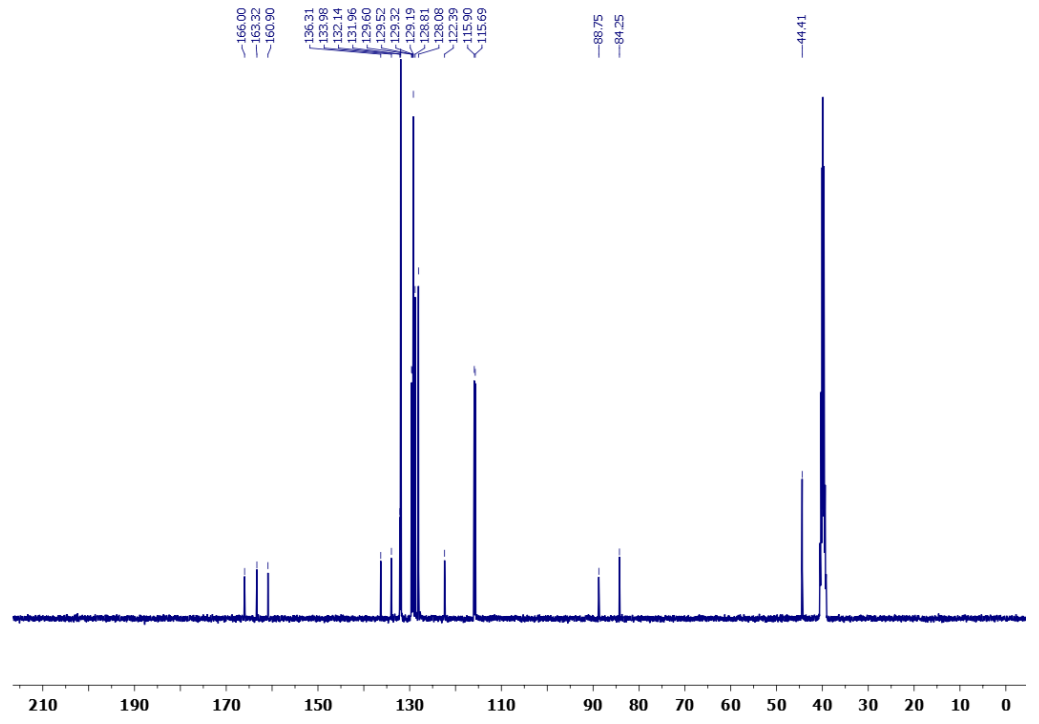
^{13}C NMR (101 MHz, DMSO- d_6) of **4d**



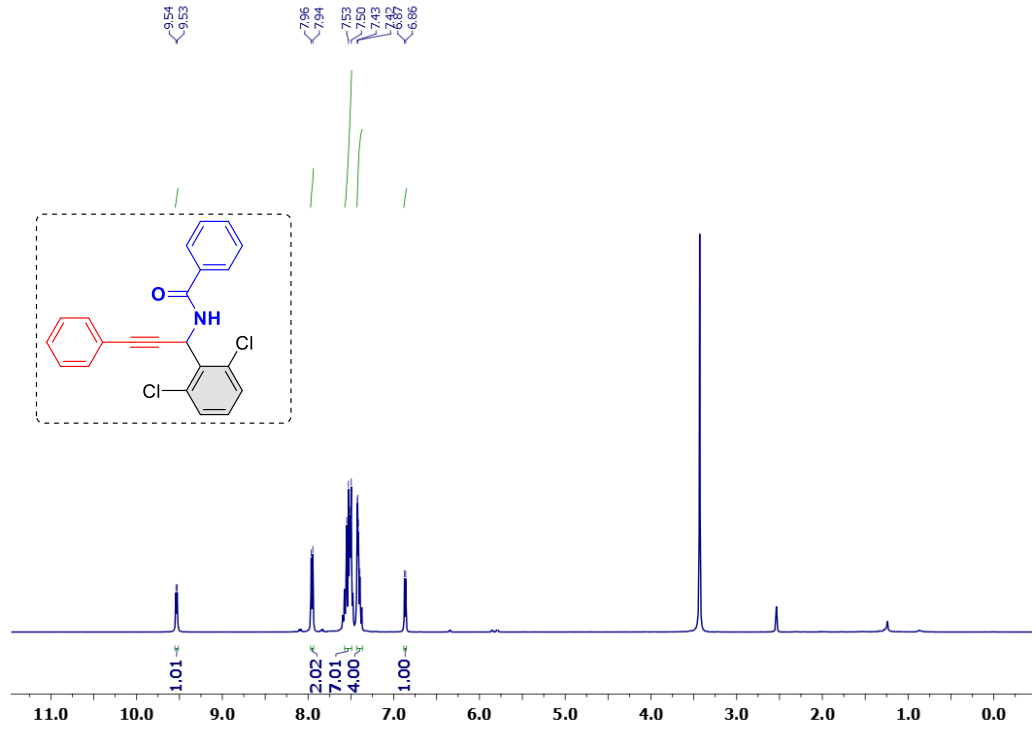
^{1}H NMR (400 MHz, DMSO-d_6) of **4e**



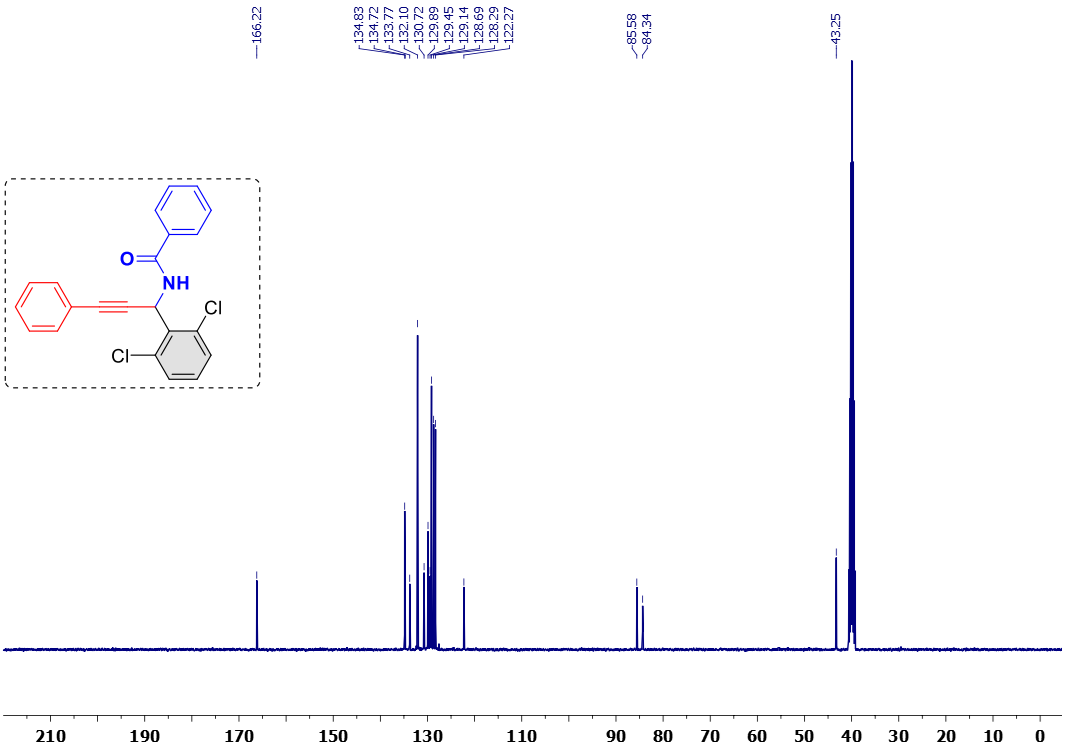
^{13}C NMR (101 MHz, DMSO- d_6) of **4e**



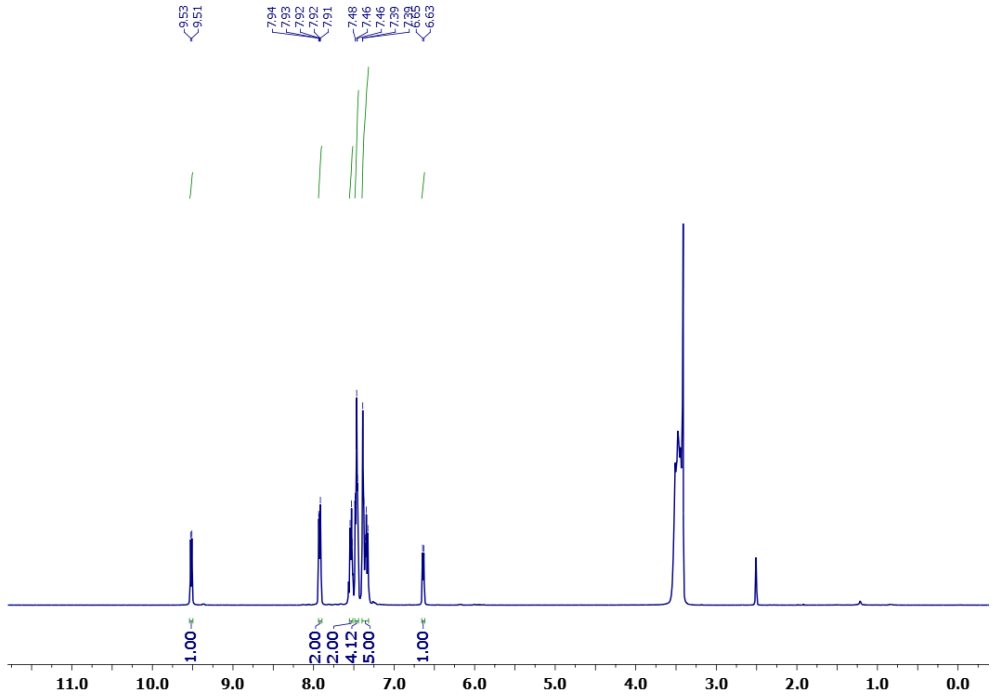
^{1}H NMR (400 MHz, DMSO-d_6) of **4f**



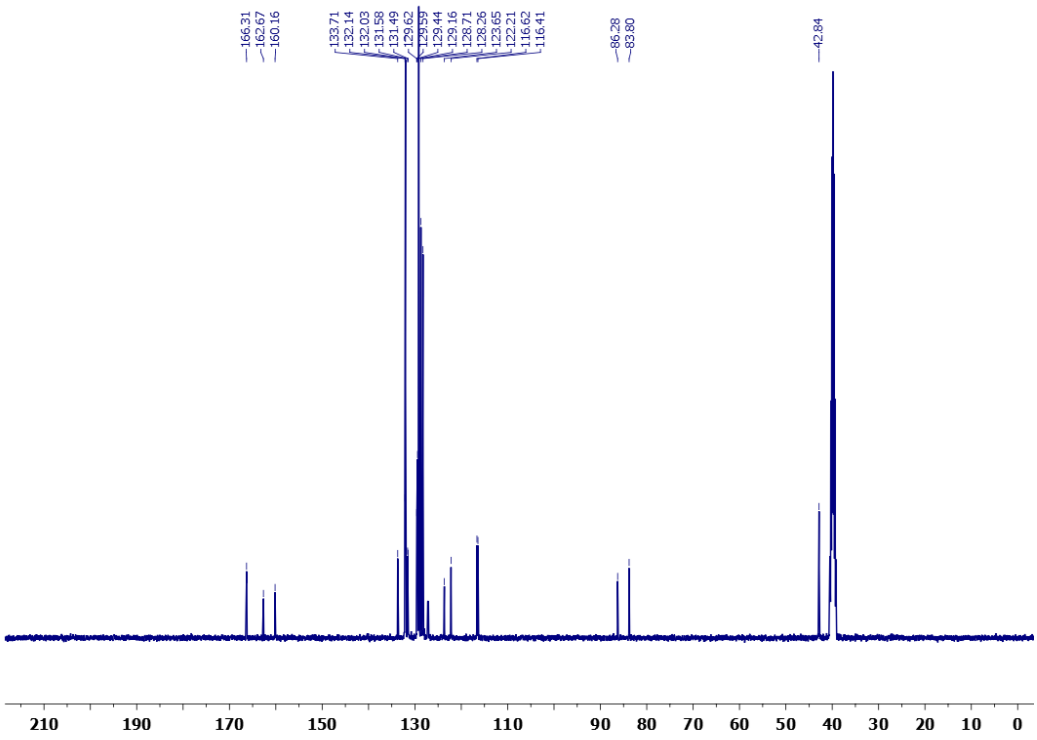
^{13}C NMR (101 MHz, DMSO- d_6) of **4f**



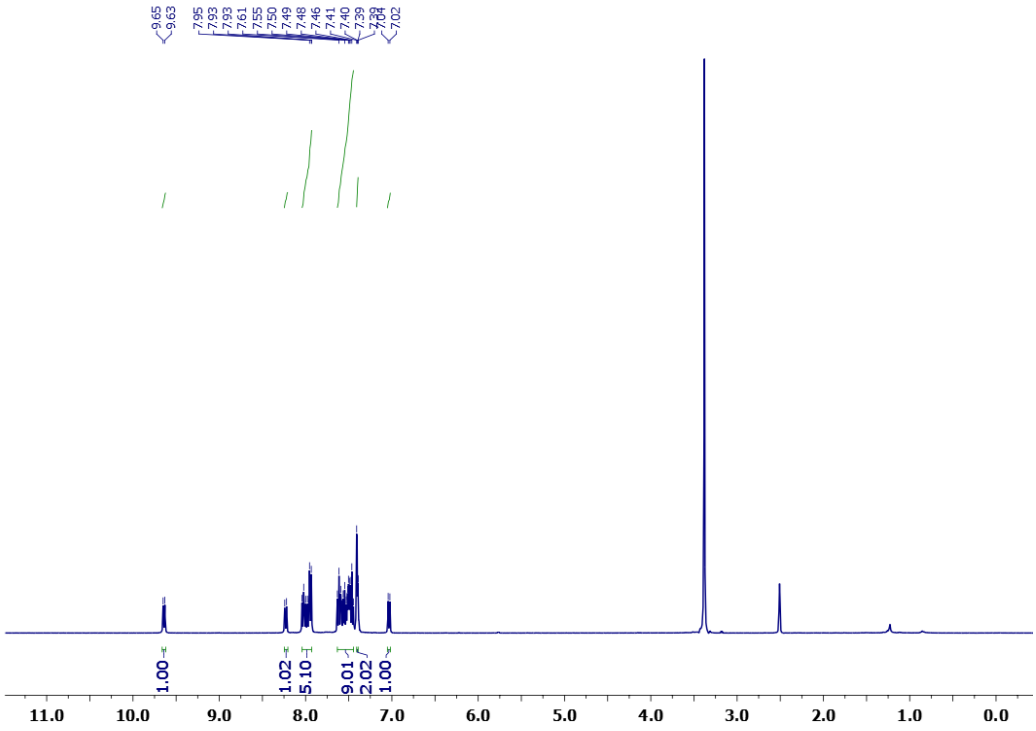
^{1}H NMR (400 MHz, DMSO-d_6) of **4g**



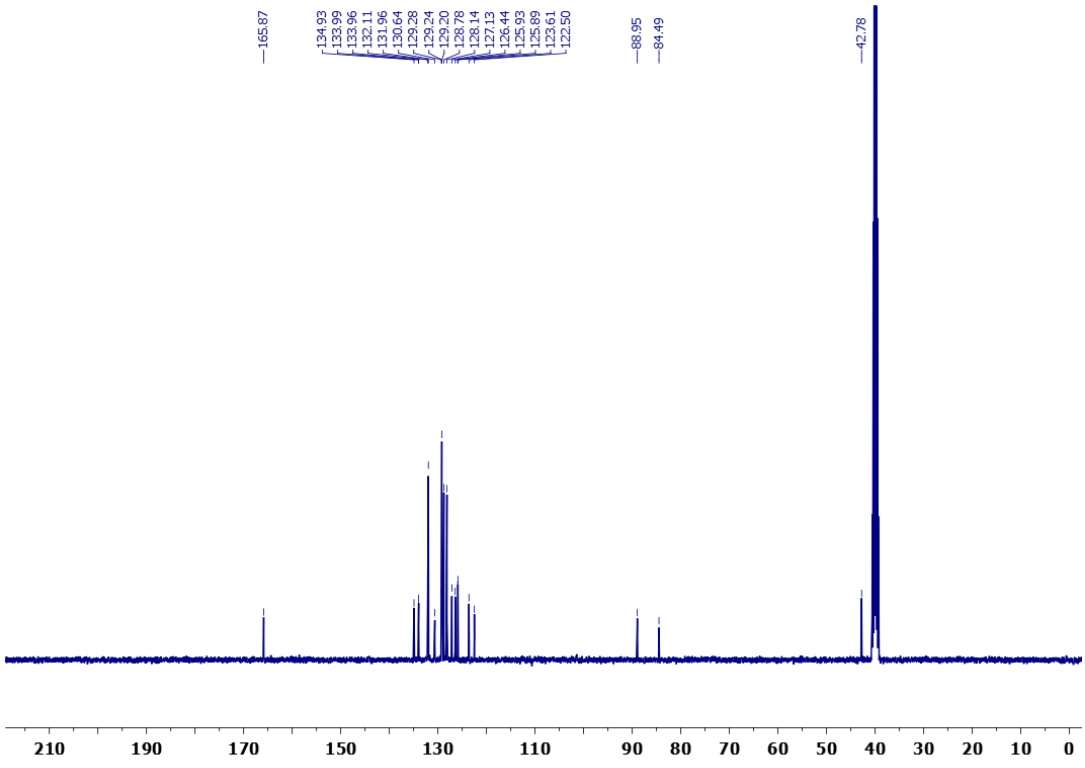
^{13}C NMR (101 MHz, DMSO- d_6) of **4g**



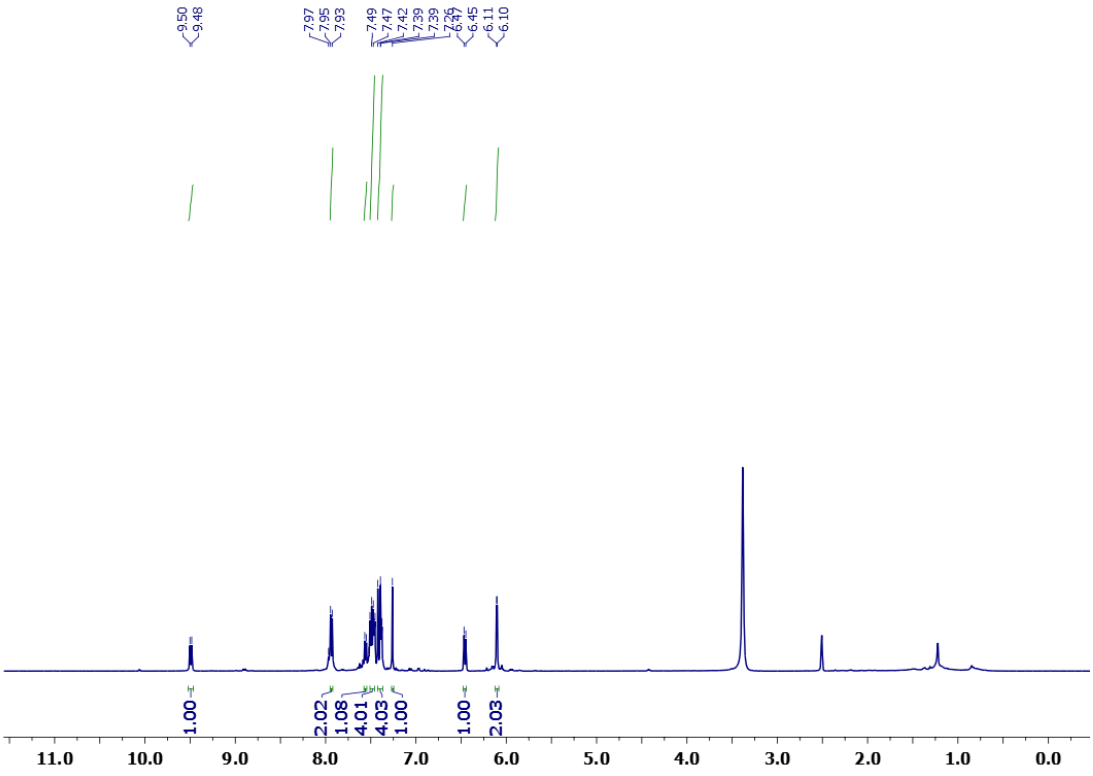
^{1}H NMR (400 MHz, DMSO-d_6) of **4h**



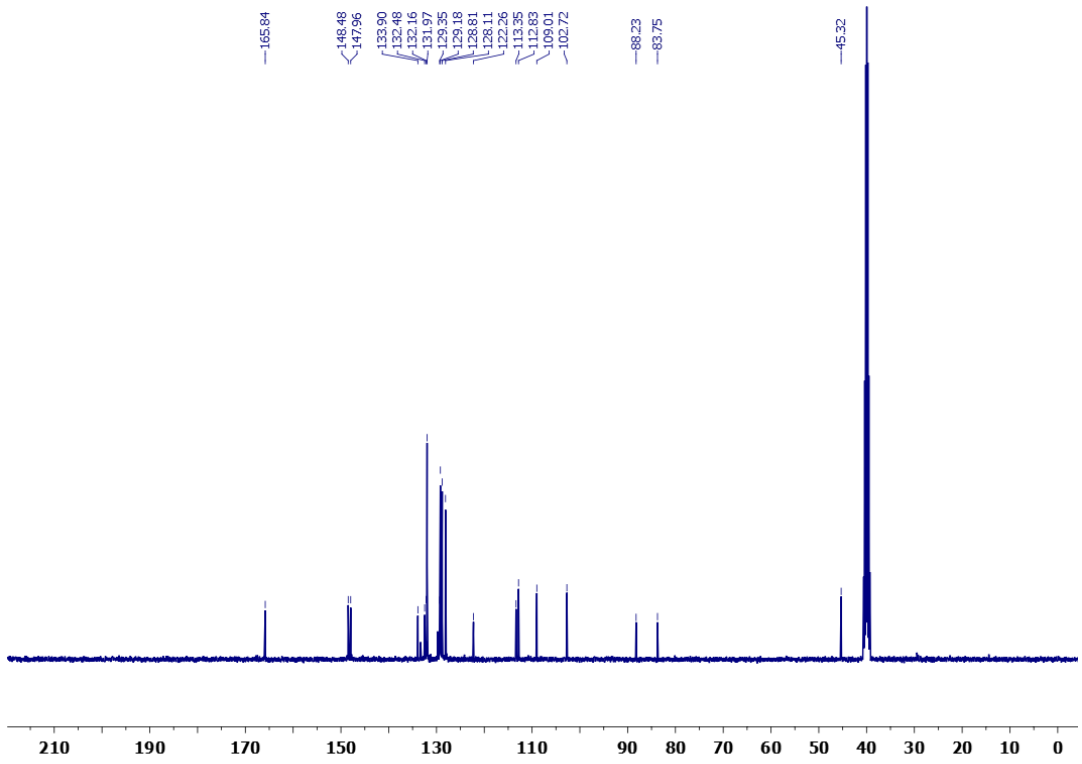
^{13}C NMR (101 MHz, DMSO- d_6) of **4h**



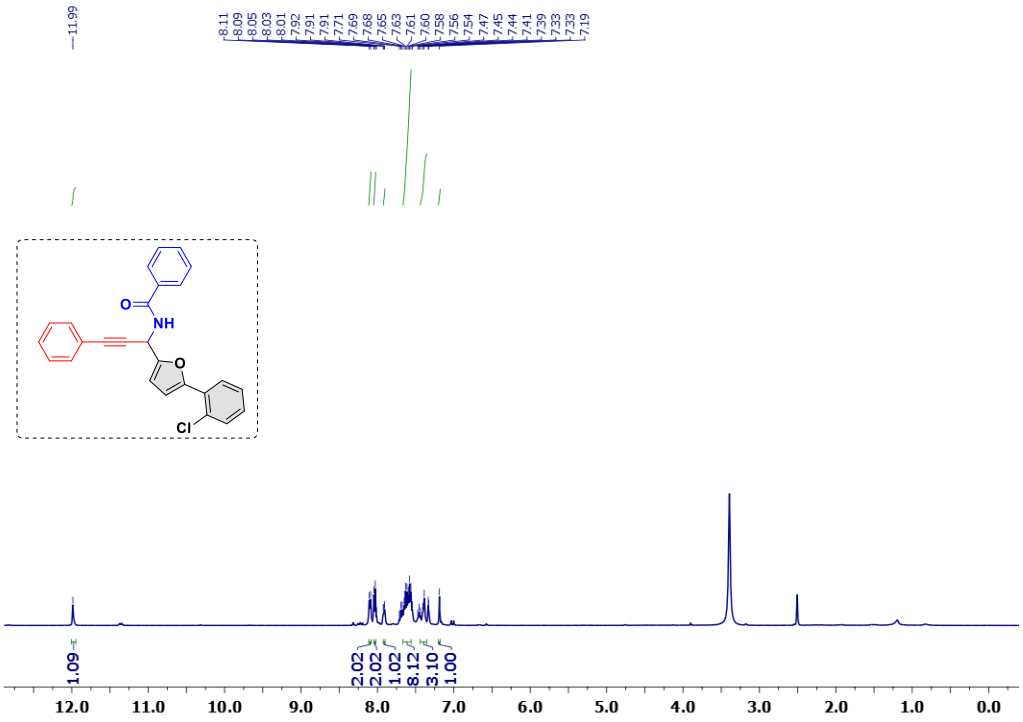
^{1}H NMR (400 MHz, DMSO-d_6) of **4i**



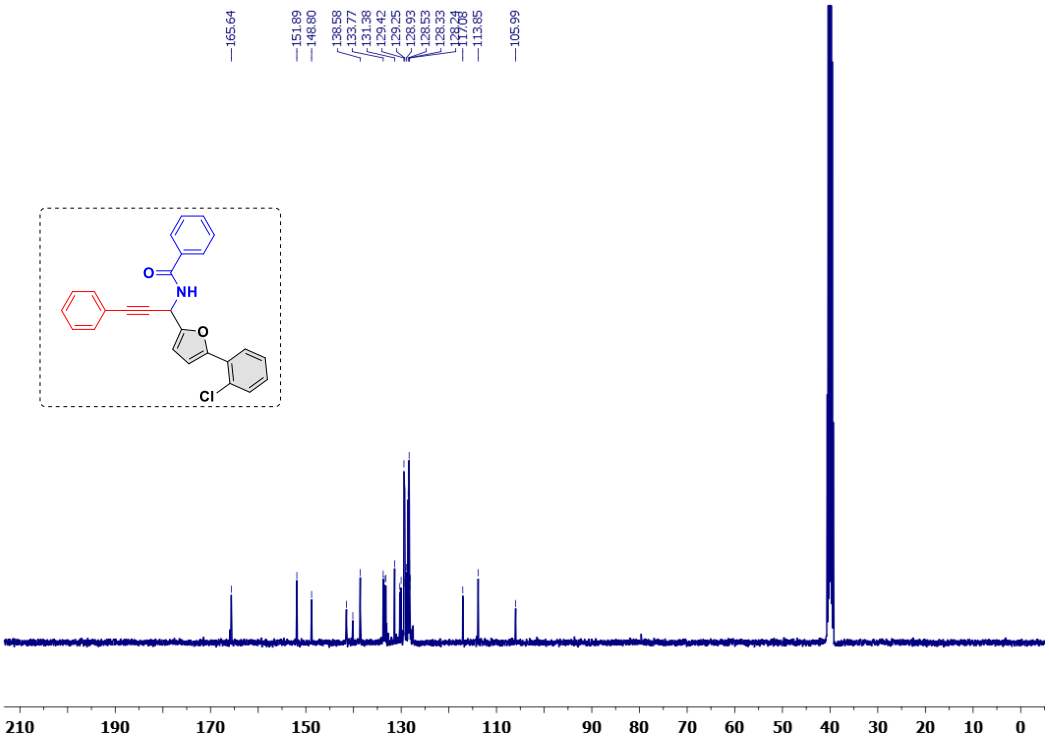
^{13}C NMR (101 MHz, DMSO- d_6) of **4i**



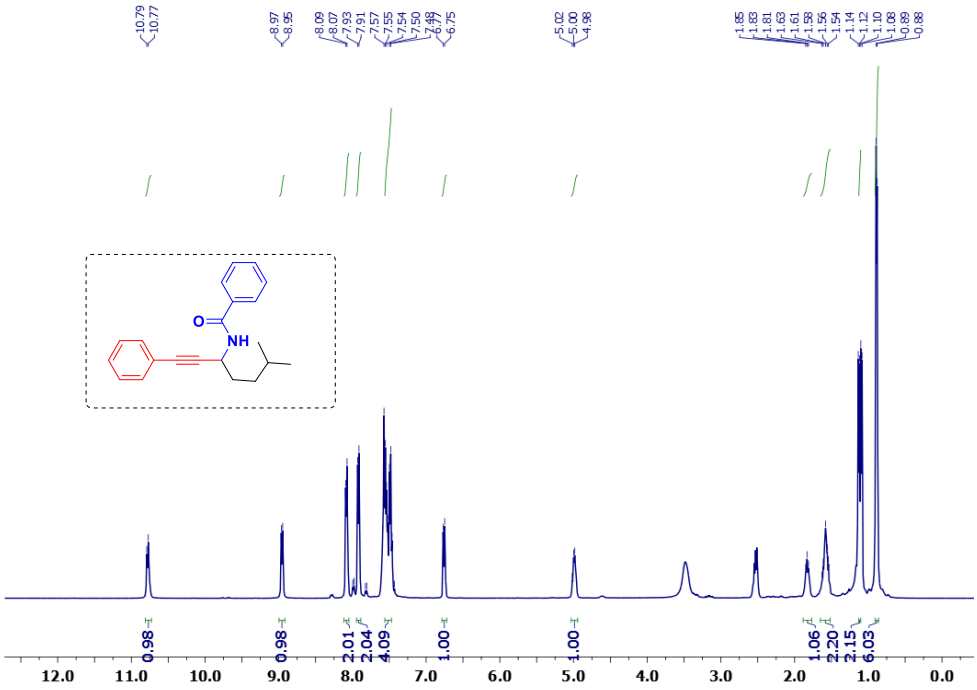
^{1}H NMR (400 MHz, DMSO-d_6) of **4j**



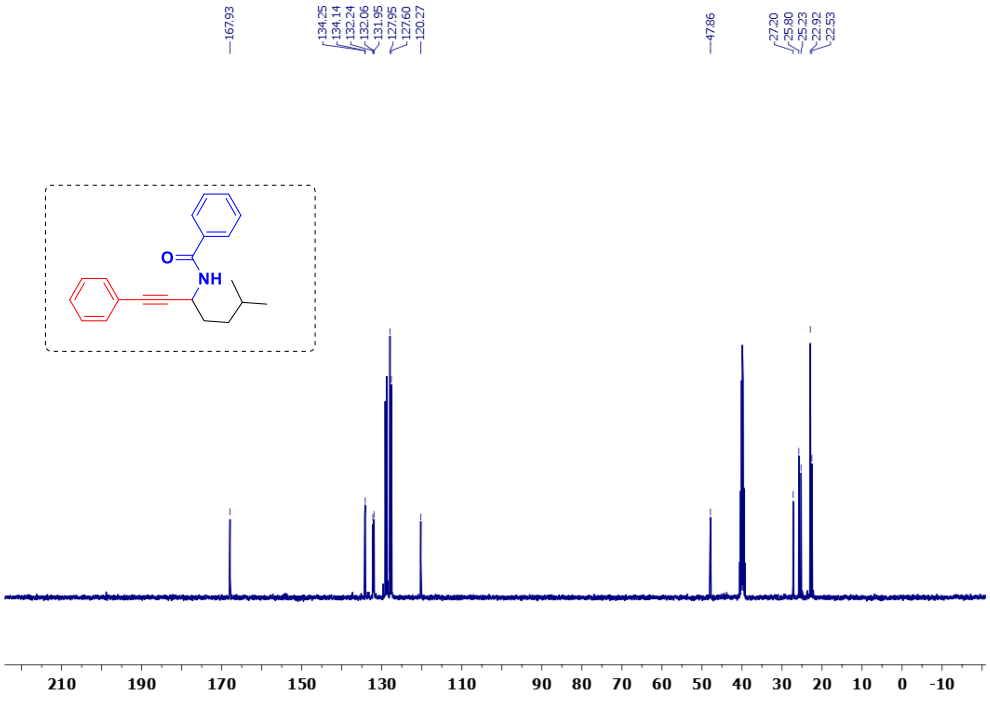
^{13}C NMR (101 MHz, DMSO- d_6) of **4j**



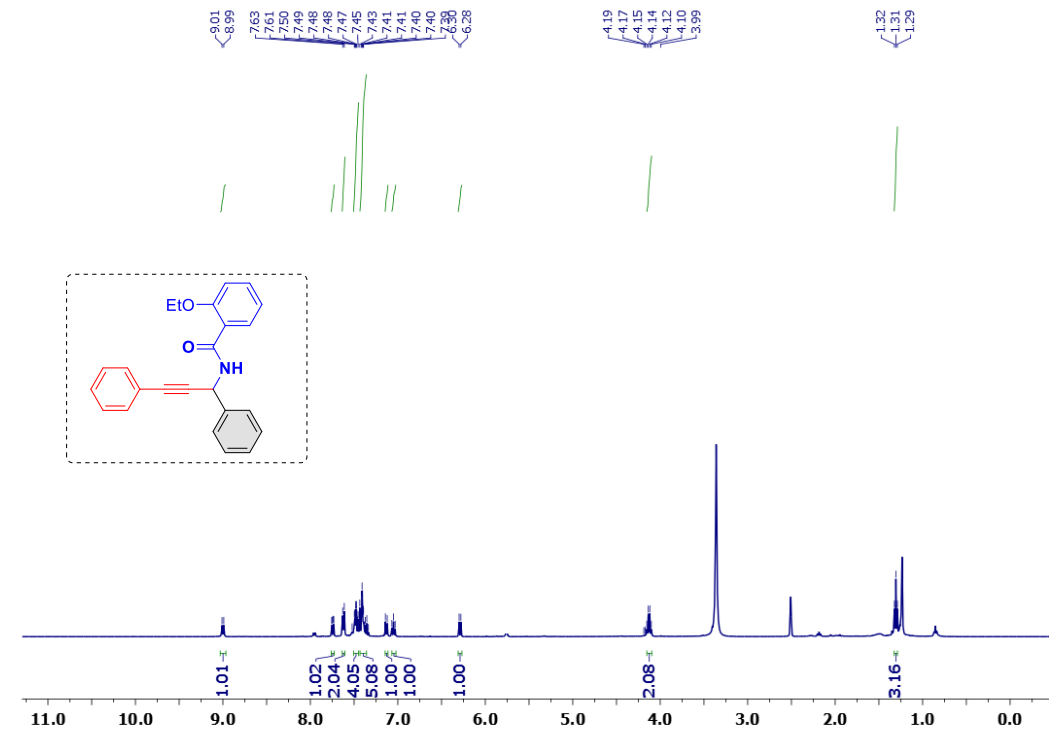
^{1}H NMR (400 MHz, DMSO-d_6) of **4k**



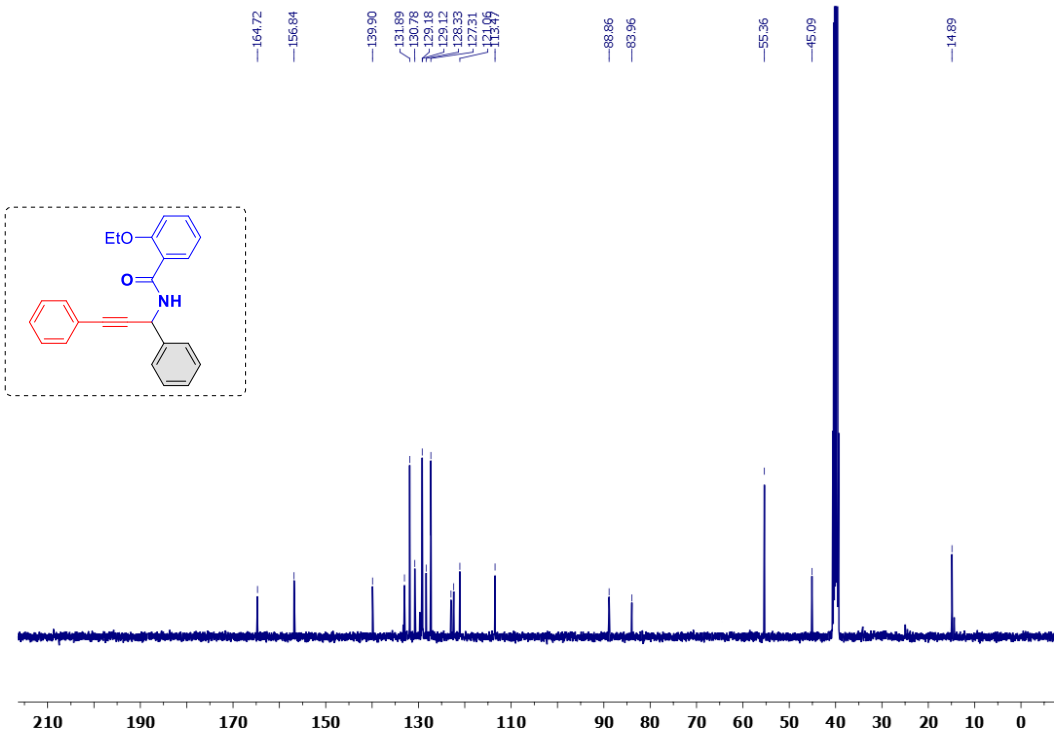
^{13}C NMR (101 MHz, DMSO- d_6) of **4k**



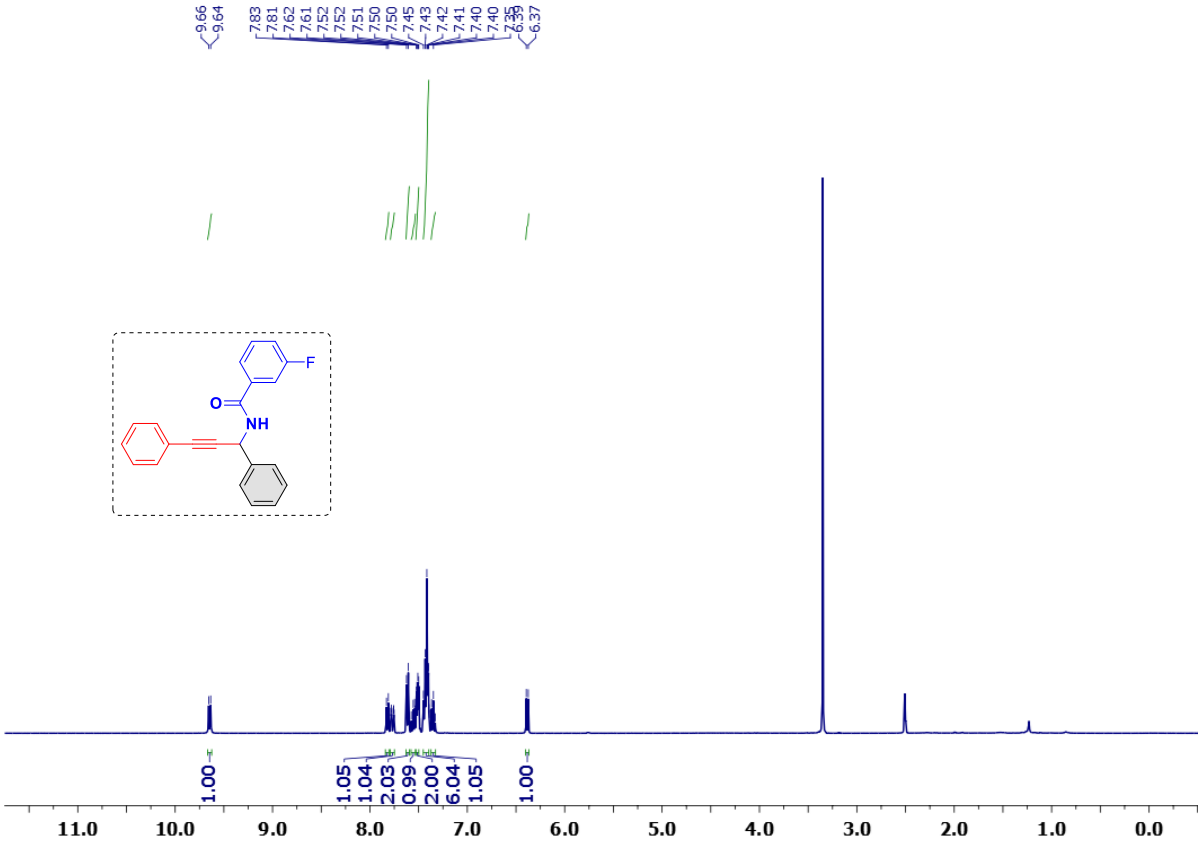
^{1}H NMR (400 MHz, DMSO-d_6) of **4l**



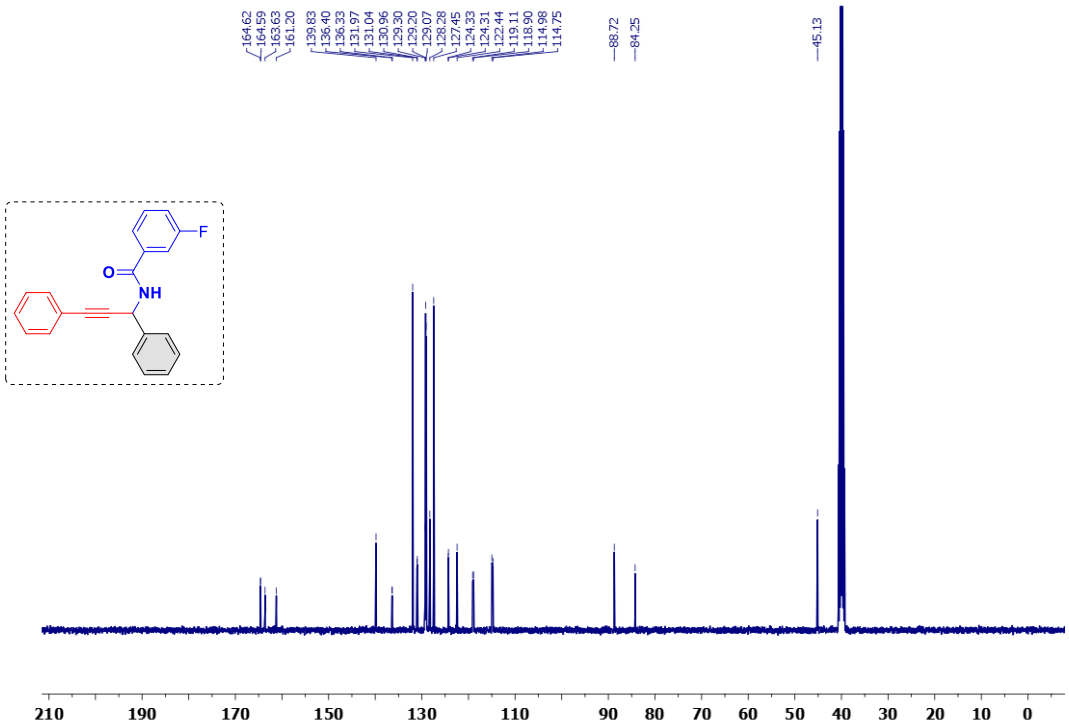
^{13}C NMR (101 MHz, DMSO- d_6) of **4l**



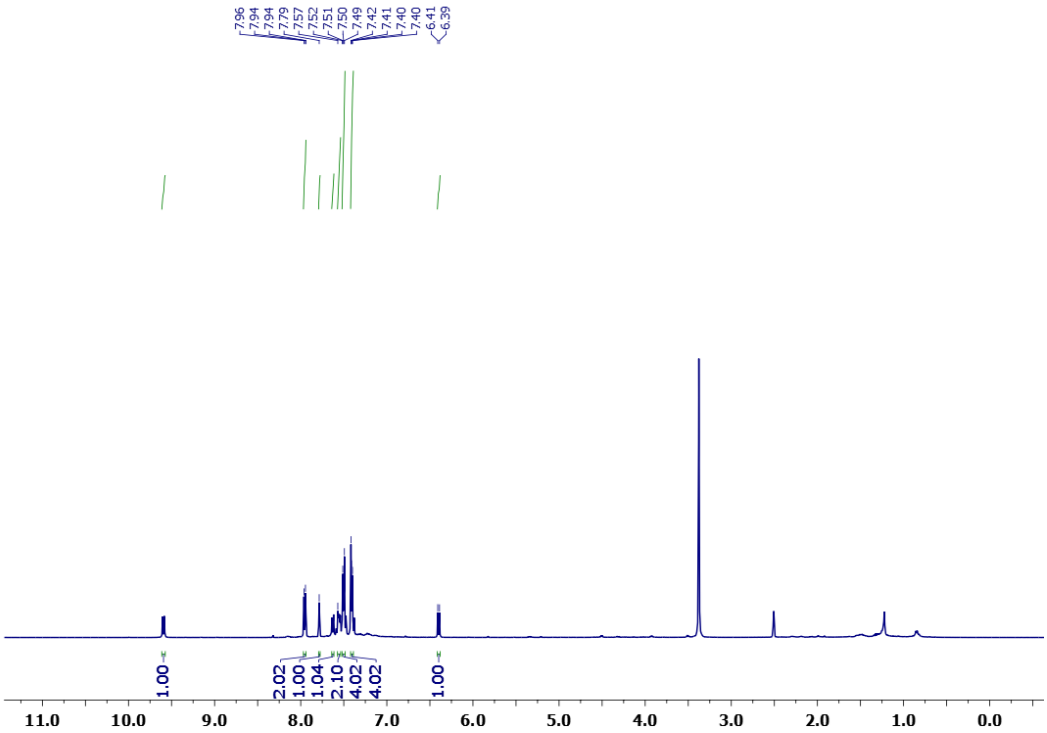
^{1}H NMR (400 MHz, DMSO-d_6) of **4m**



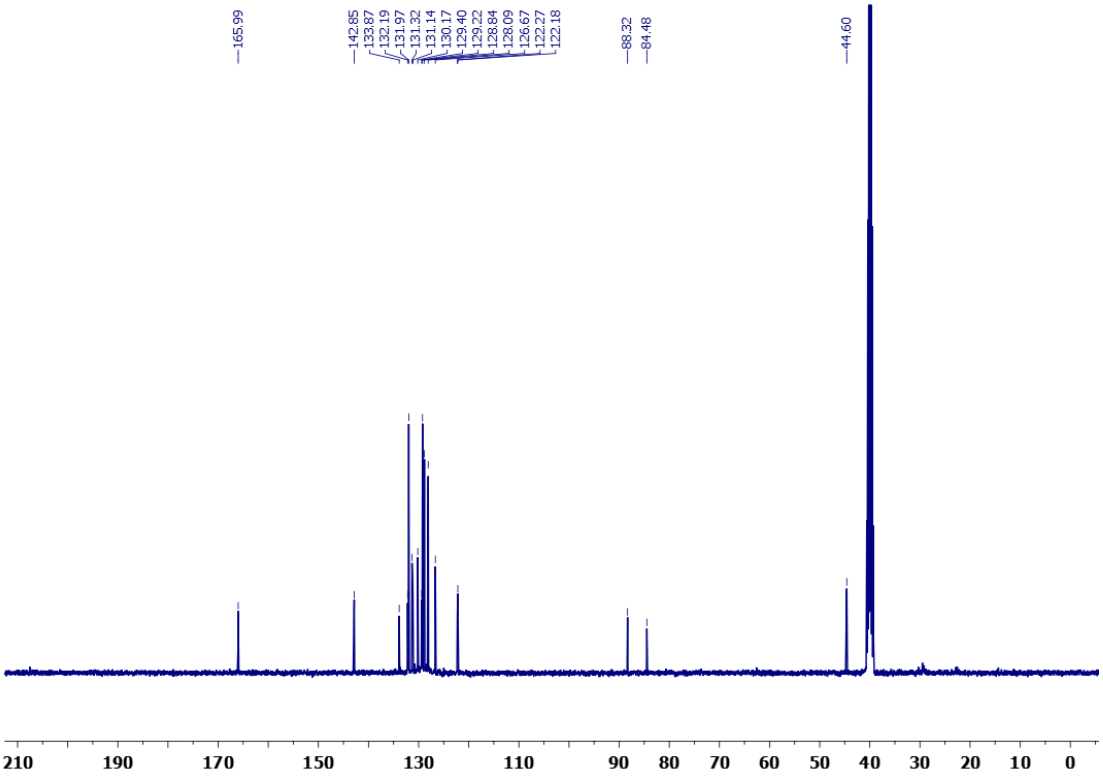
^{13}C NMR (101 MHz, DMSO- d_6) of **4m**



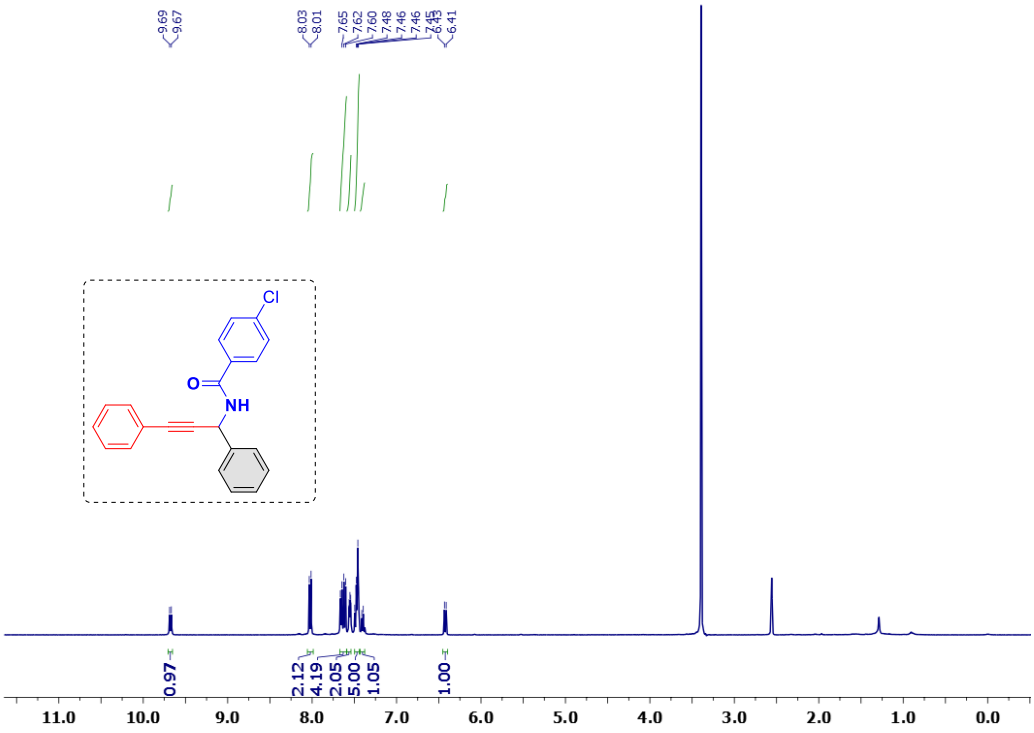
^{1}H NMR (400 MHz, DMSO-d_6) of **4n**



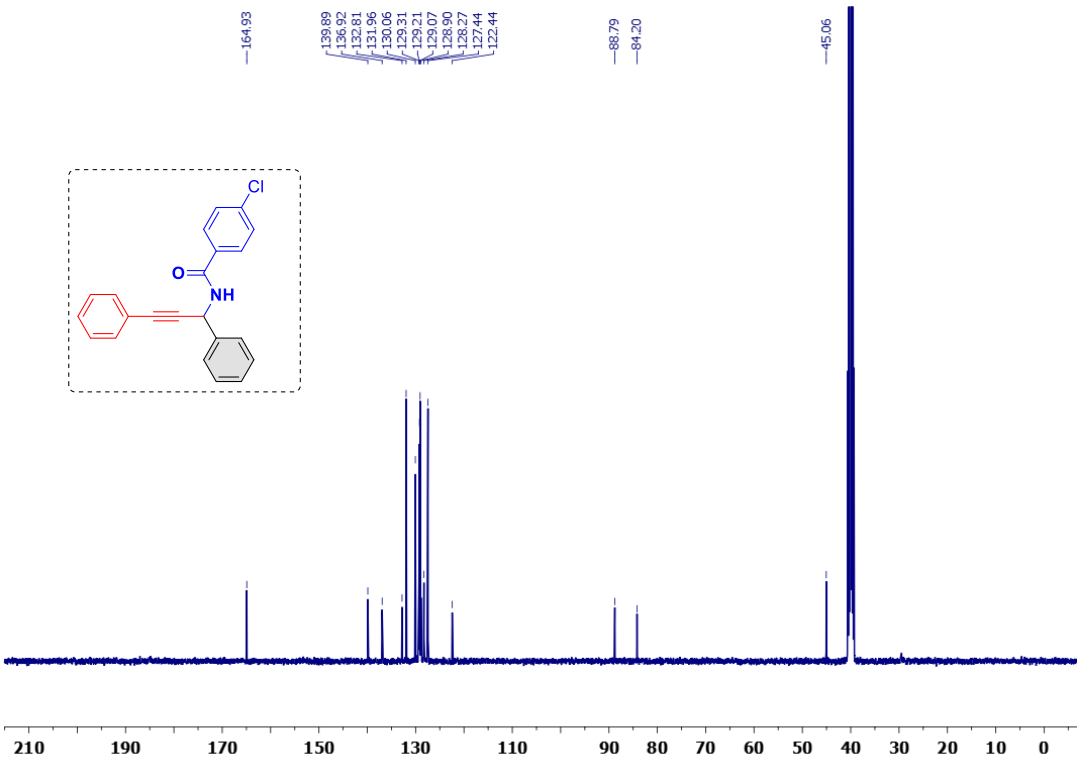
^{13}C NMR (101 MHz, DMSO- d_6) of **4n**



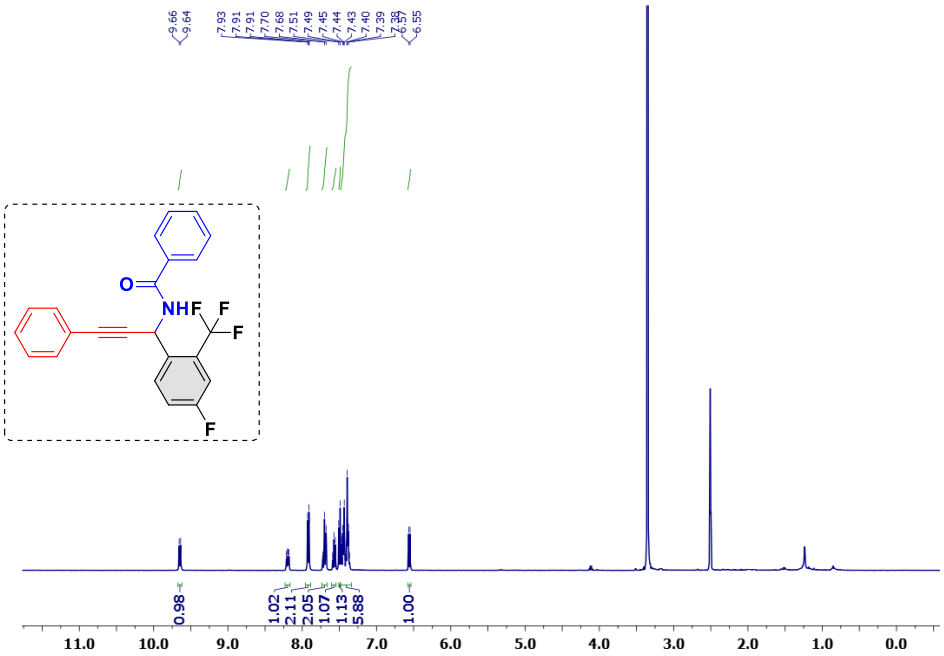
^{1}H NMR (400 MHz, DMSO-d_6) of **4o**



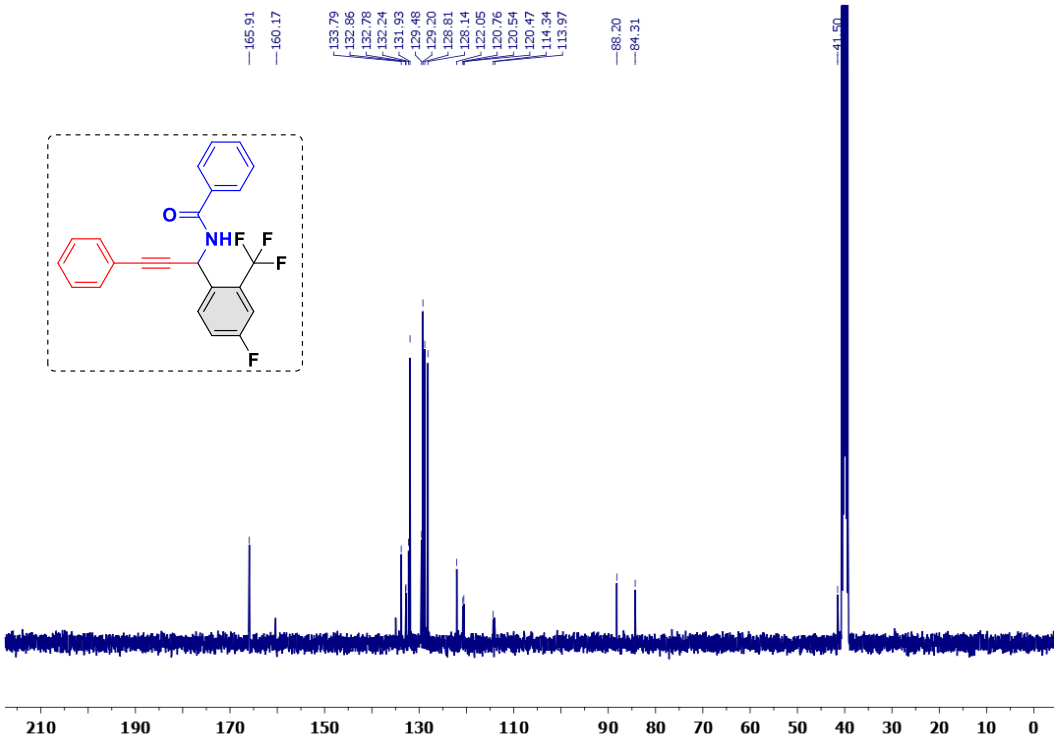
^{13}C NMR (101 MHz, DMSO- d_6) of **4o**



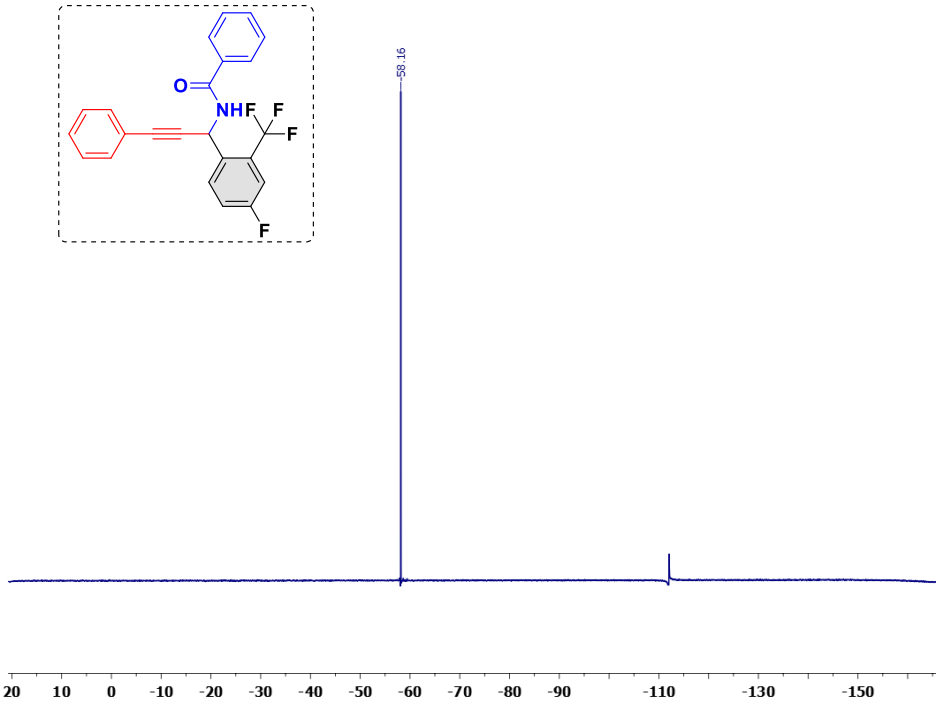
^{1}H NMR (400 MHz, DMSO-d_6) of **4p**



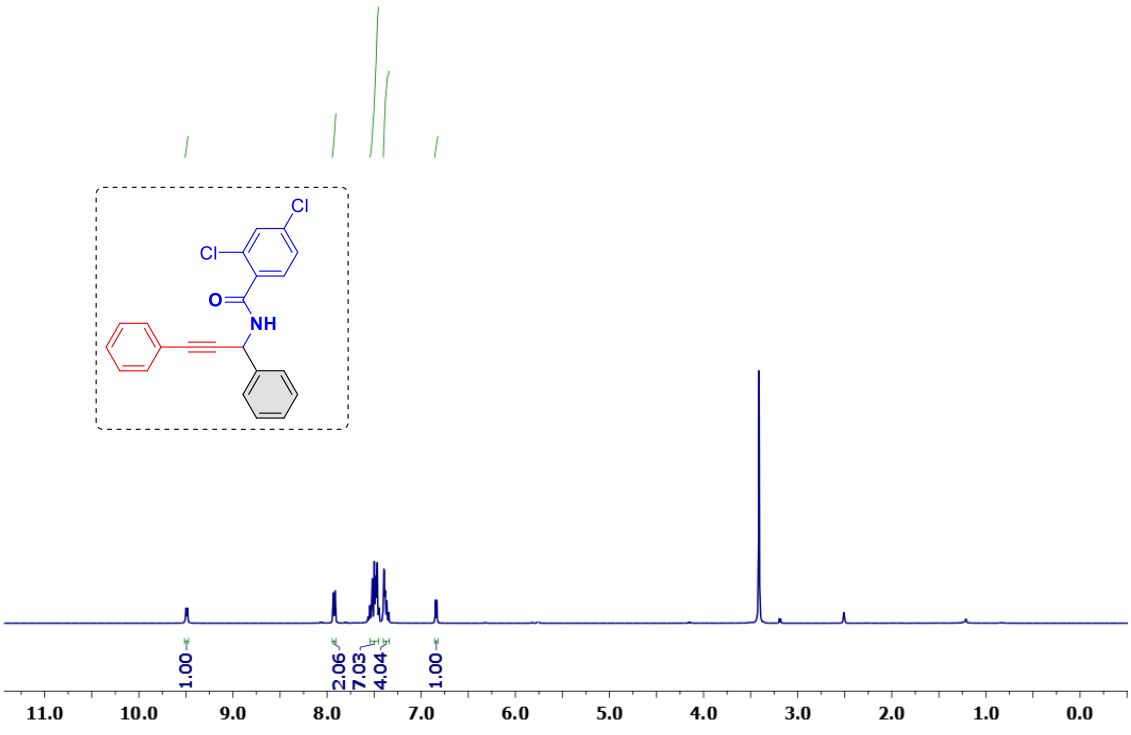
^{13}C NMR (101 MHz, DMSO- d_6) of **4p**



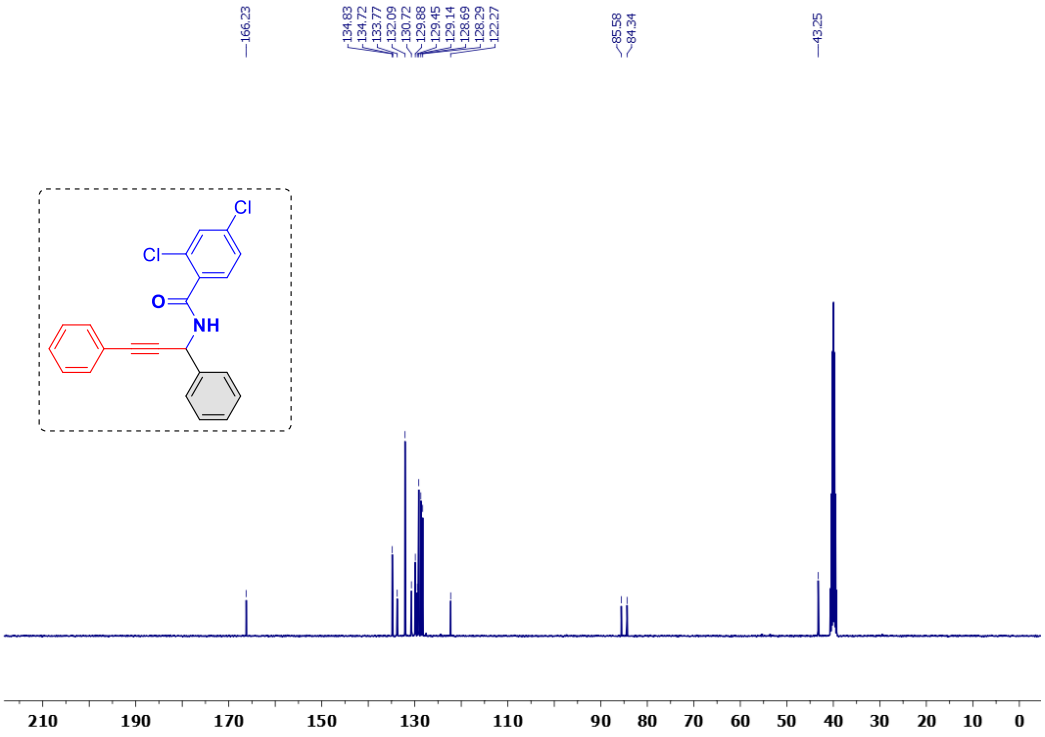
^{19}F NMR (377 MHz, DMSO-d$_6$) of **4p**



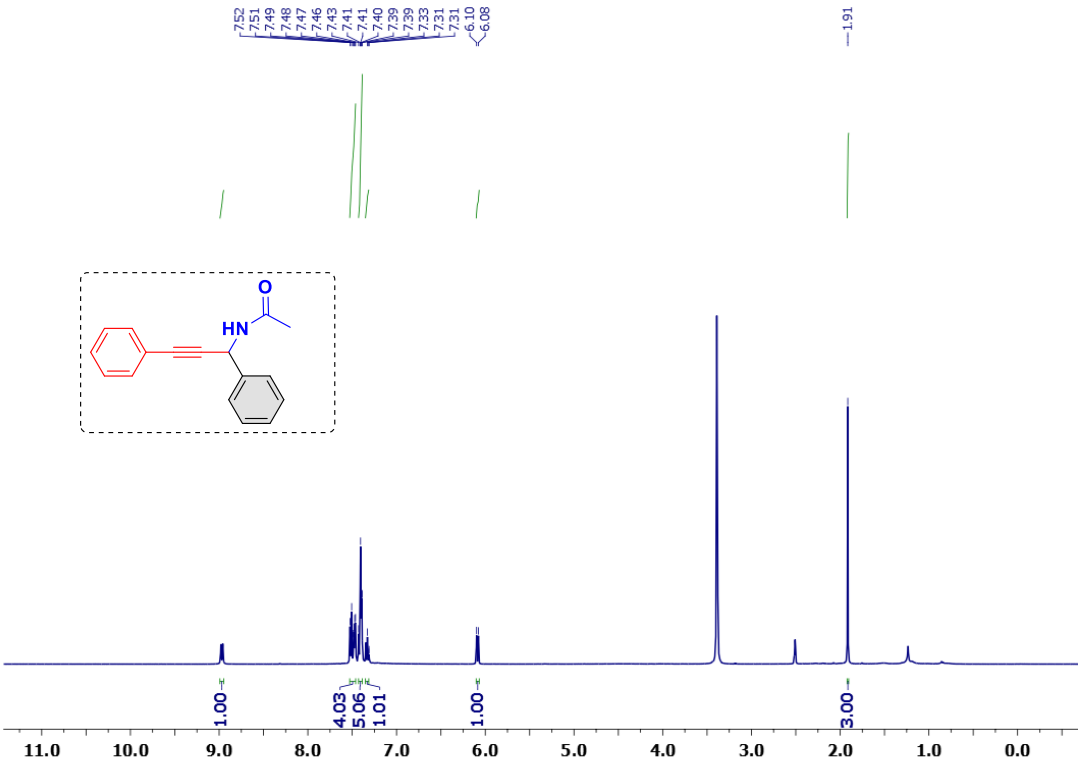
^{1}H NMR (400 MHz, DMSO-d$_6$) of **4q**



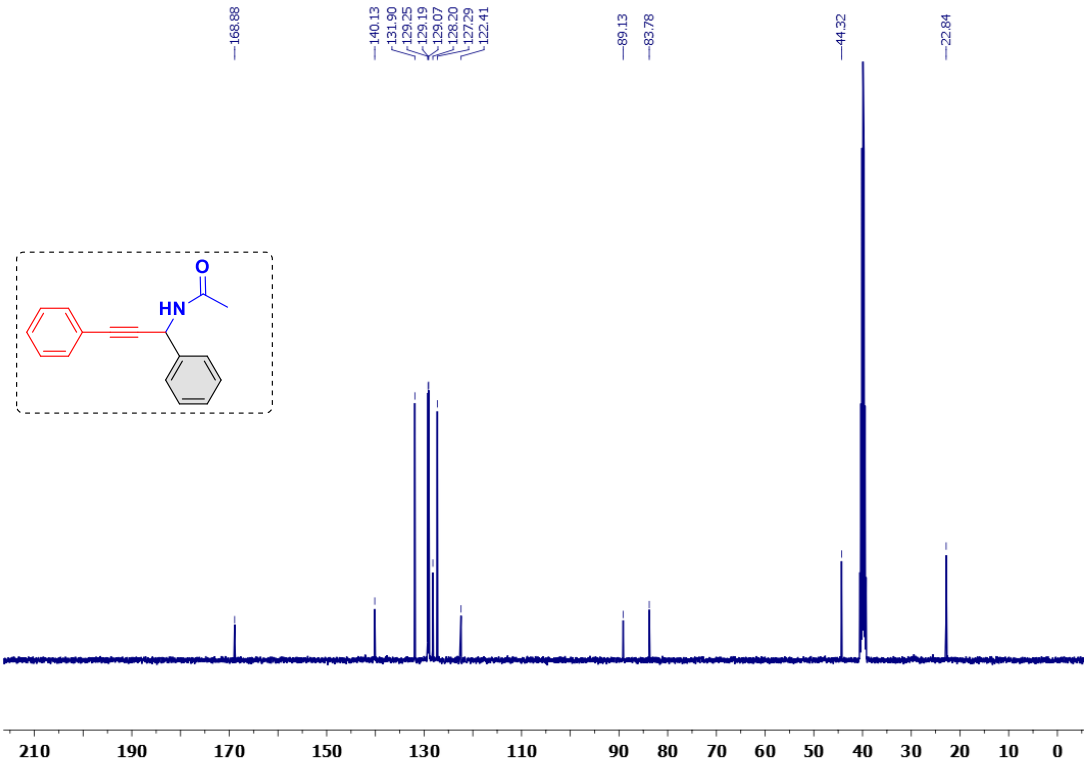
^{13}C NMR (101 MHz, DMSO- d_6) of **4q**



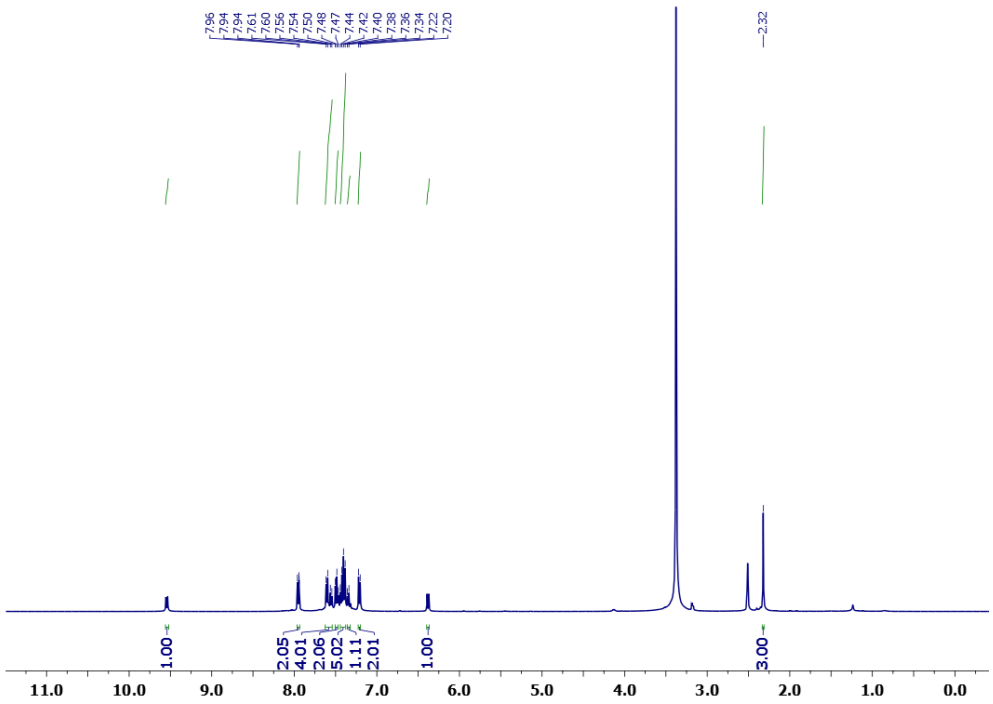
^{1}H NMR (400 MHz, DMSO-d_6) of **4r**



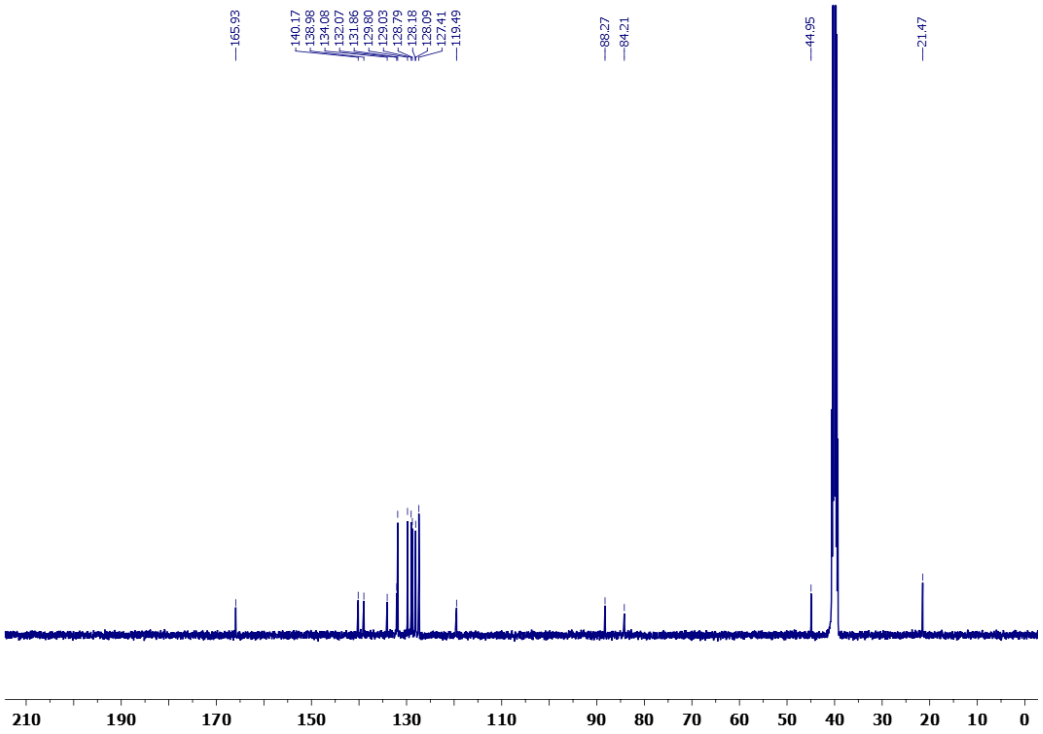
^{13}C NMR (101 MHz, DMSO- d_6) of **4r**



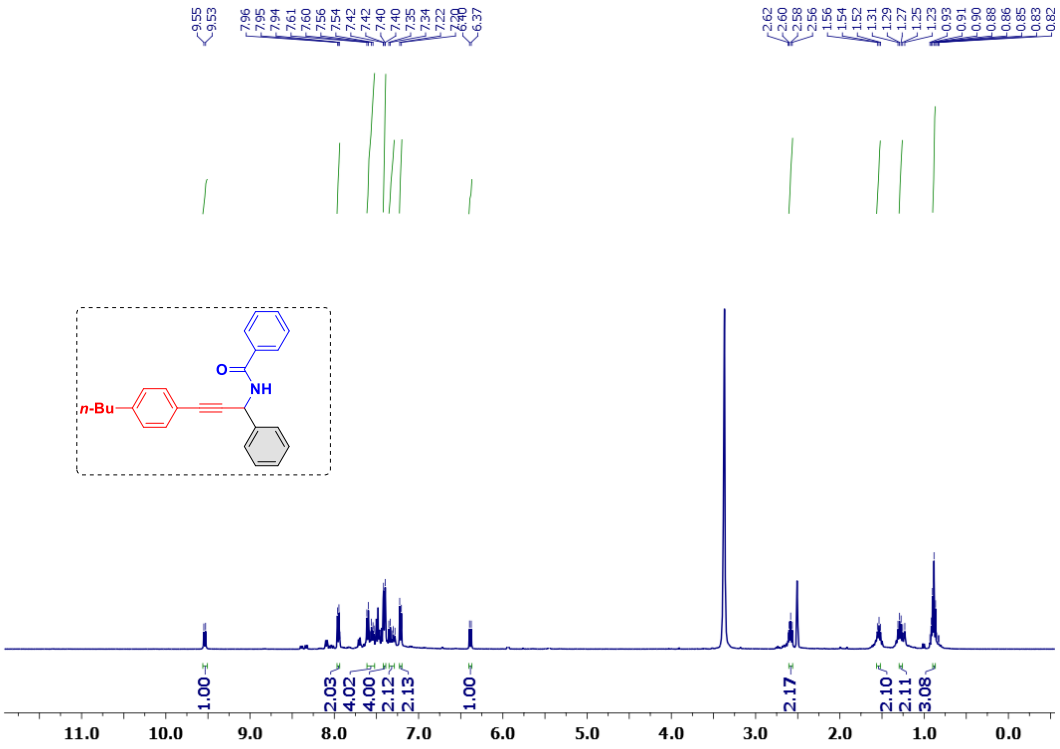
^{1}H NMR (400 MHz, DMSO-d_6) of **4s**



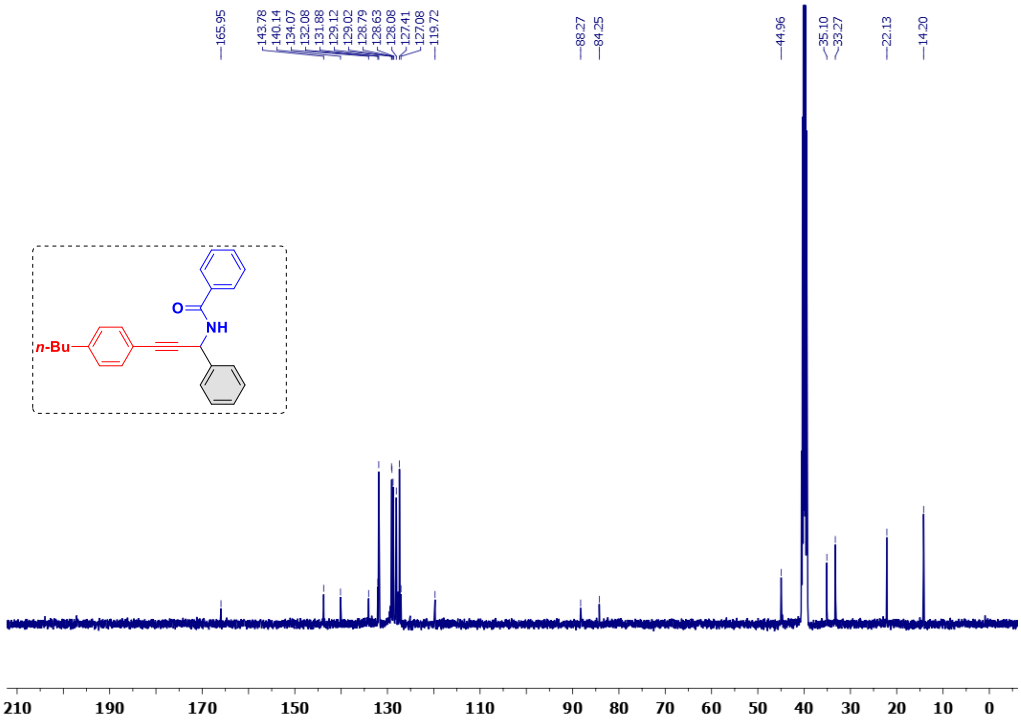
^{13}C NMR (101 MHz, DMSO- d_6) of **4s**



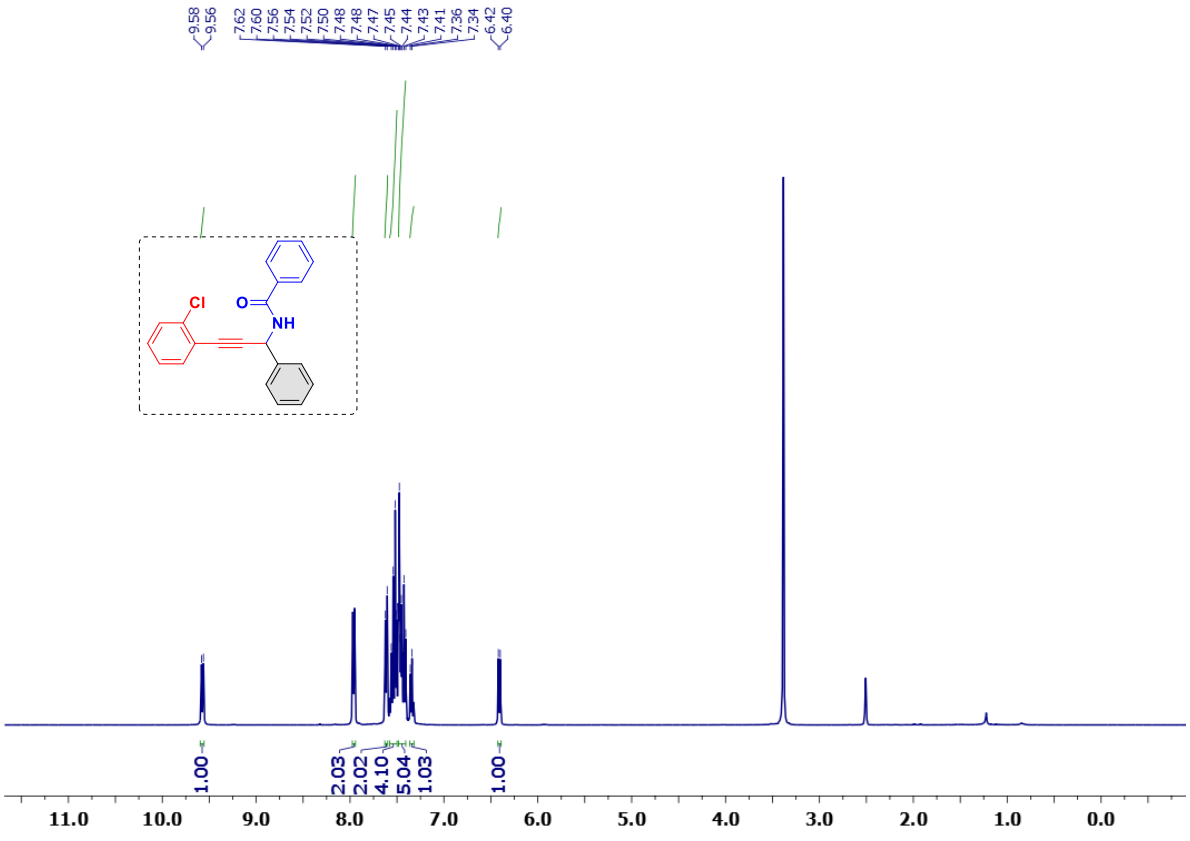
^{1}H NMR (400 MHz, DMSO-d_6) of **4t**



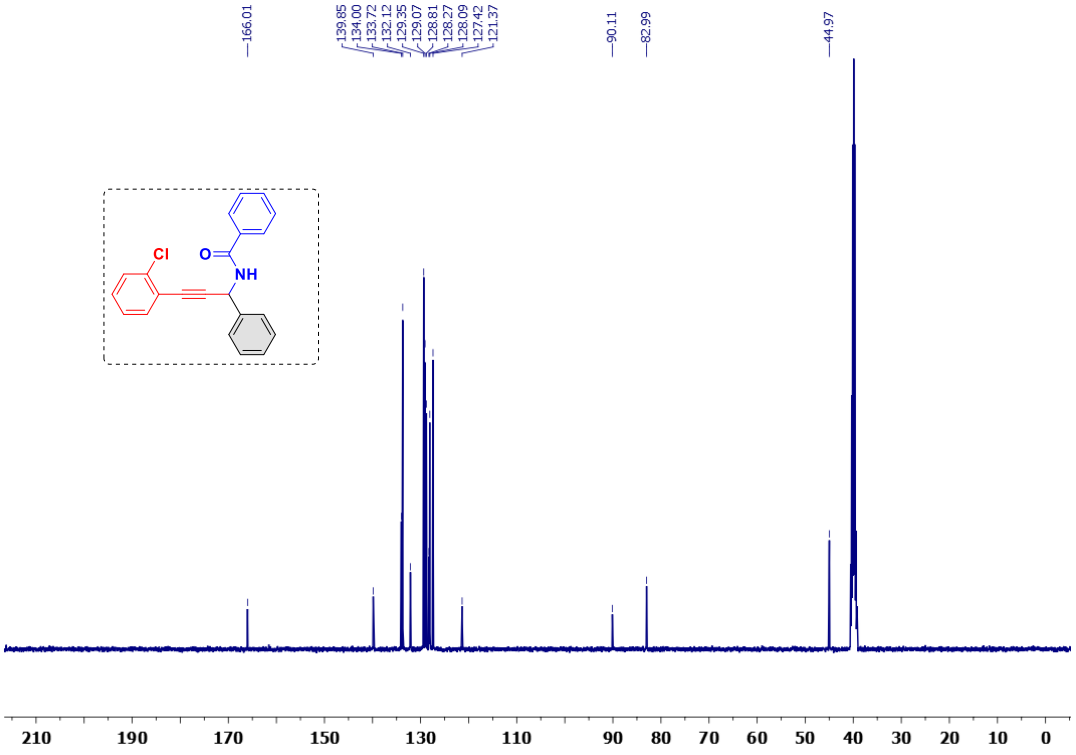
^{13}C NMR (101 MHz, DMSO- d_6) of **4t**



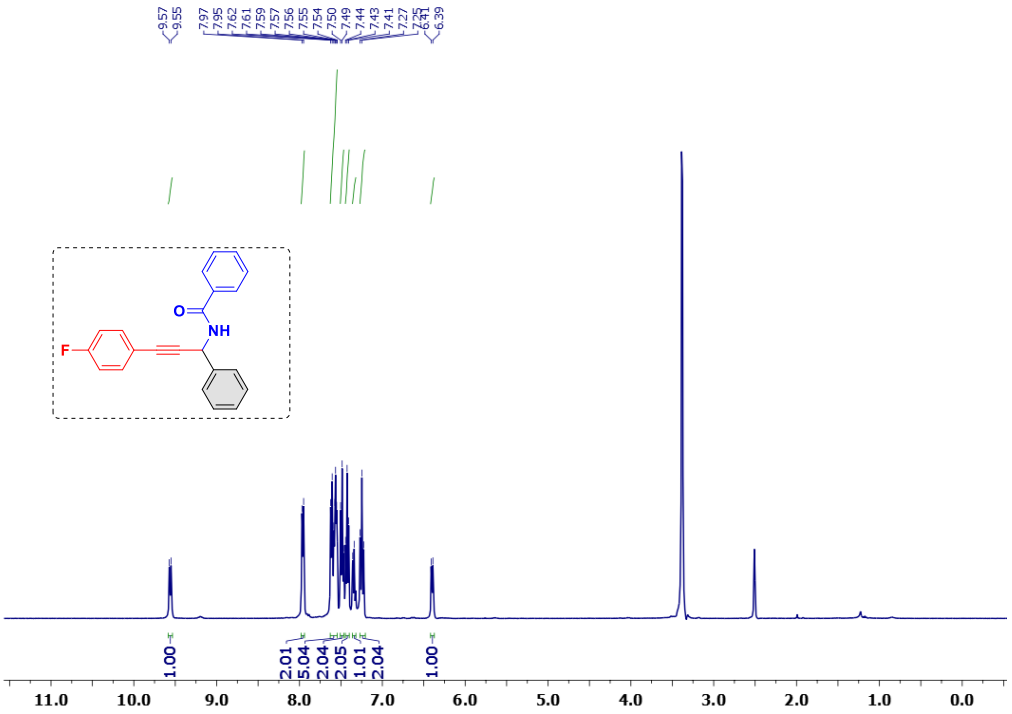
^{1}H NMR (400 MHz, DMSO-d_6) of **4u**



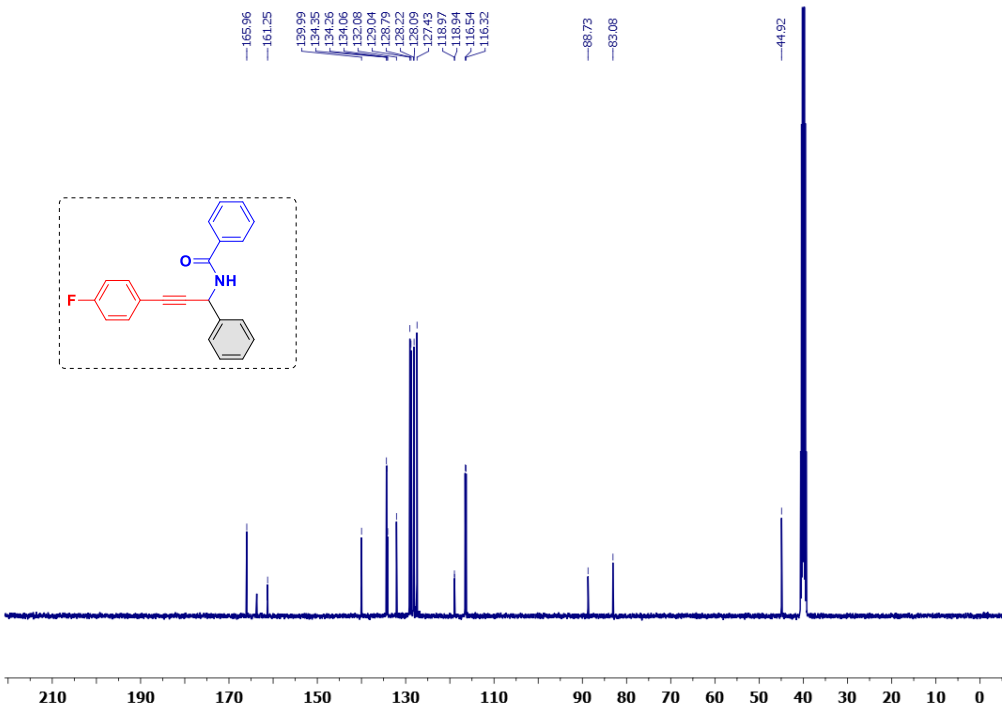
^{13}C NMR (101 MHz, DMSO- d_6) of **4u**



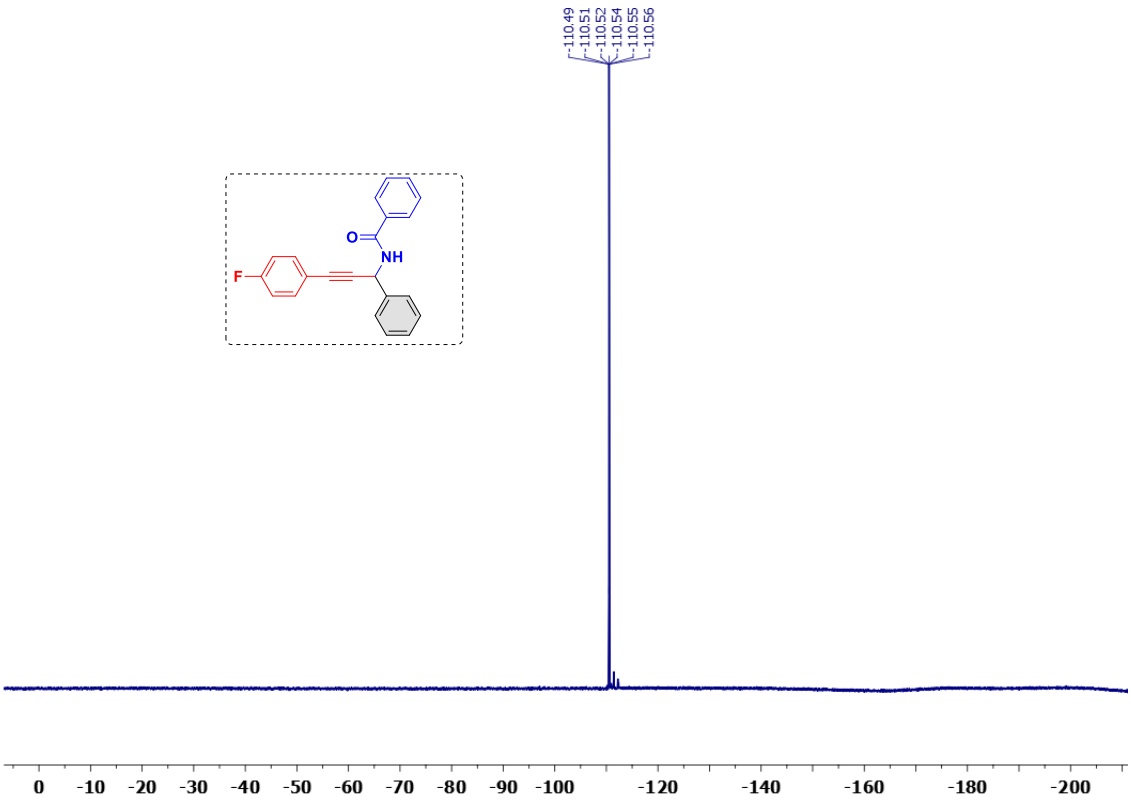
^{1}H NMR (400 MHz, DMSO-d_6) of **4v**



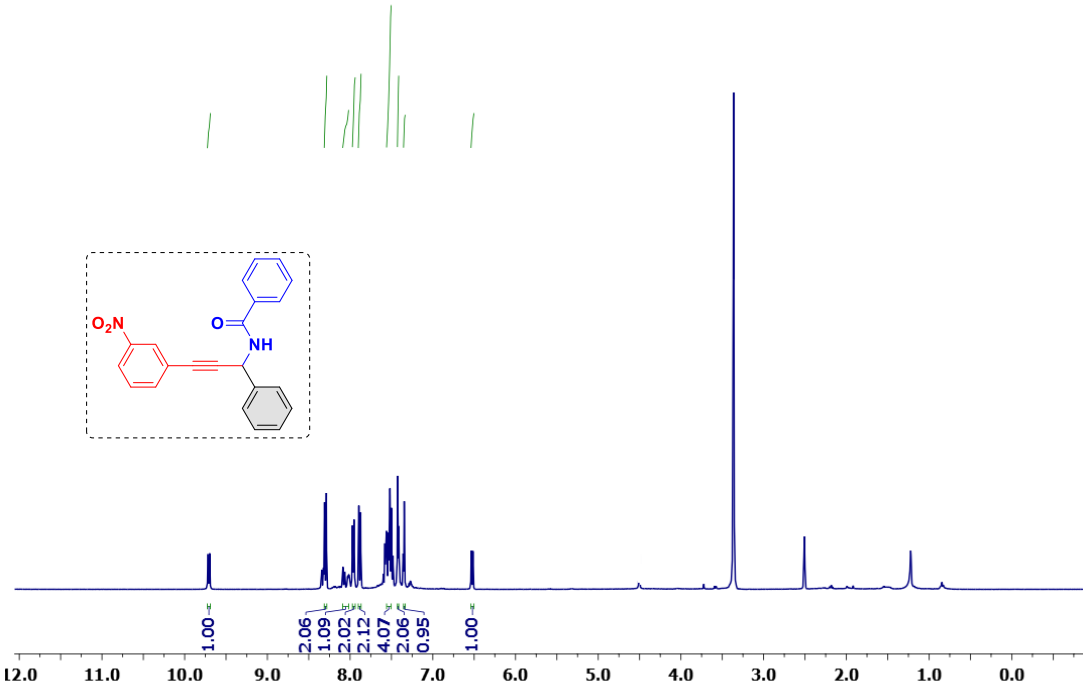
^{13}C NMR (101 MHz, DMSO- d_6) of **4v**



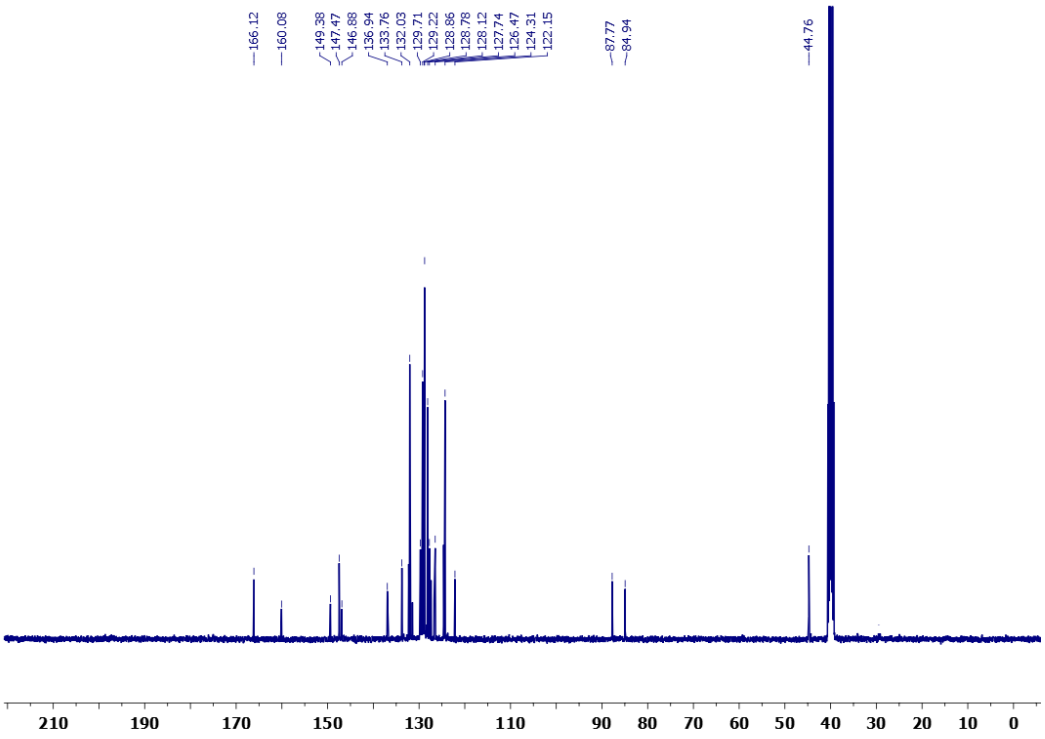
^{19}F NMR (377 MHz, DMSO-d_6) of **4v**



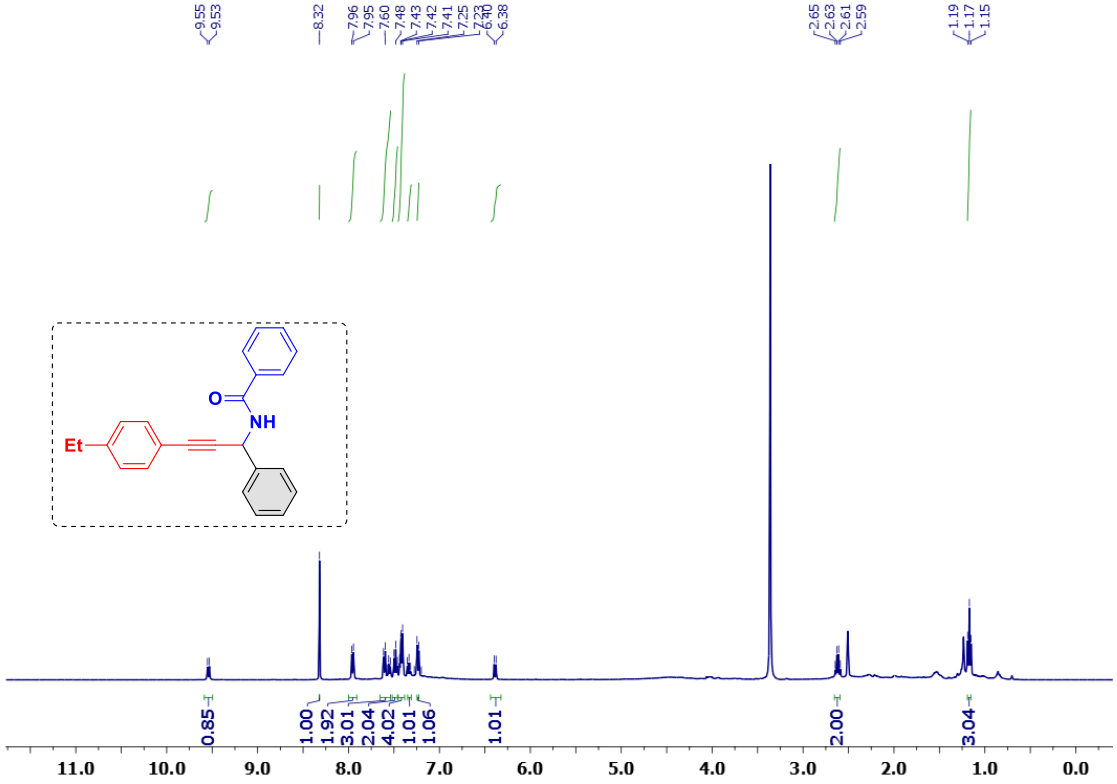
^{1}H NMR (400 MHz, DMSO-d_6) of **4w**



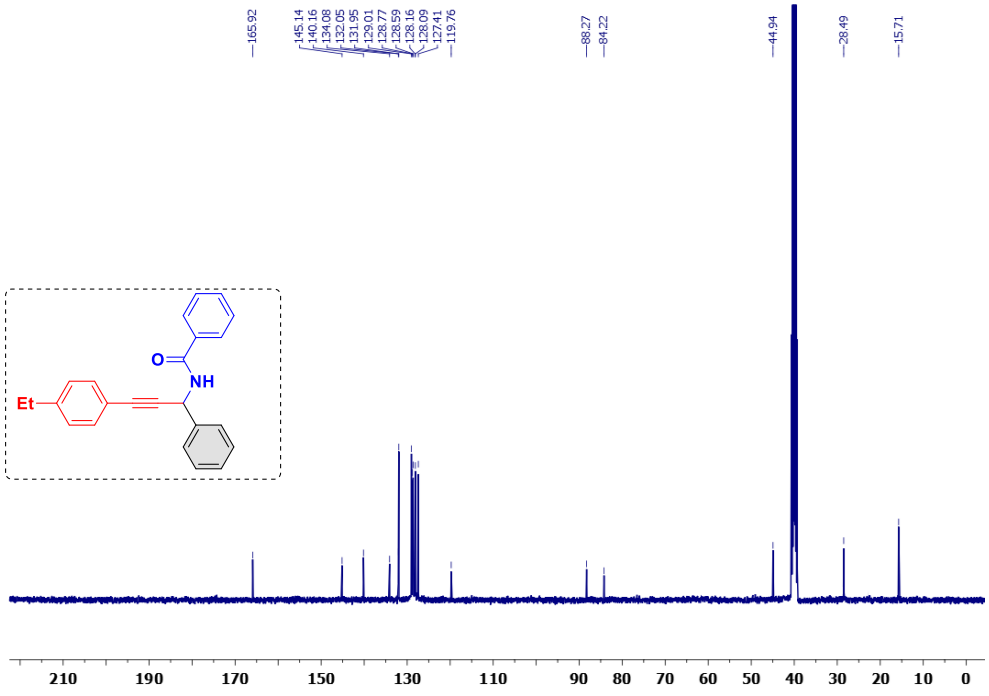
^{13}C NMR (101 MHz, DMSO- d_6) of **4w**



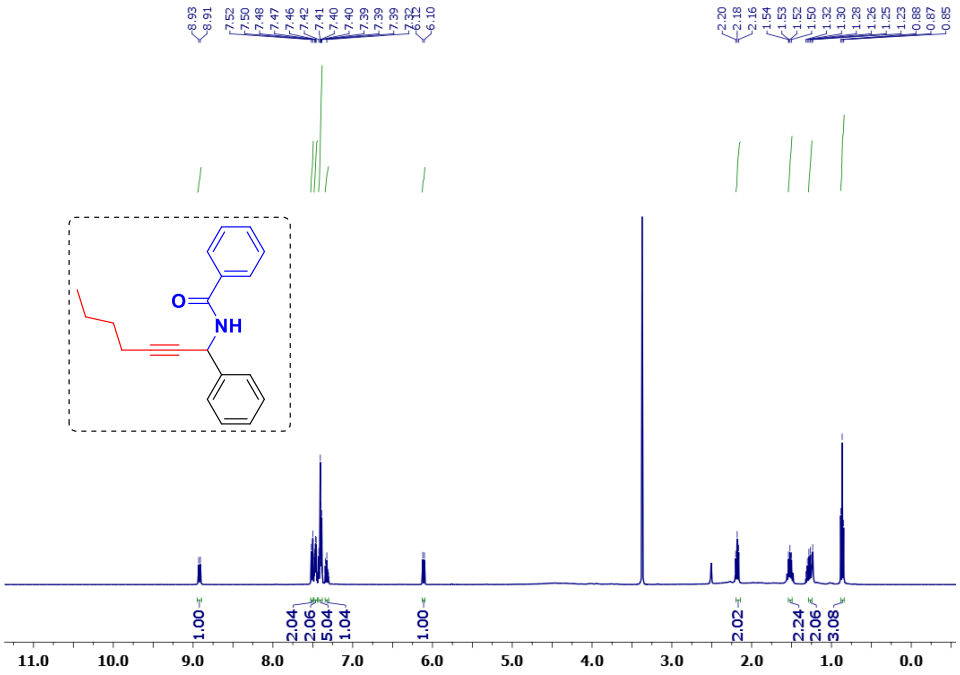
^{1}H NMR (400 MHz, DMSO-d_6) of **4x**



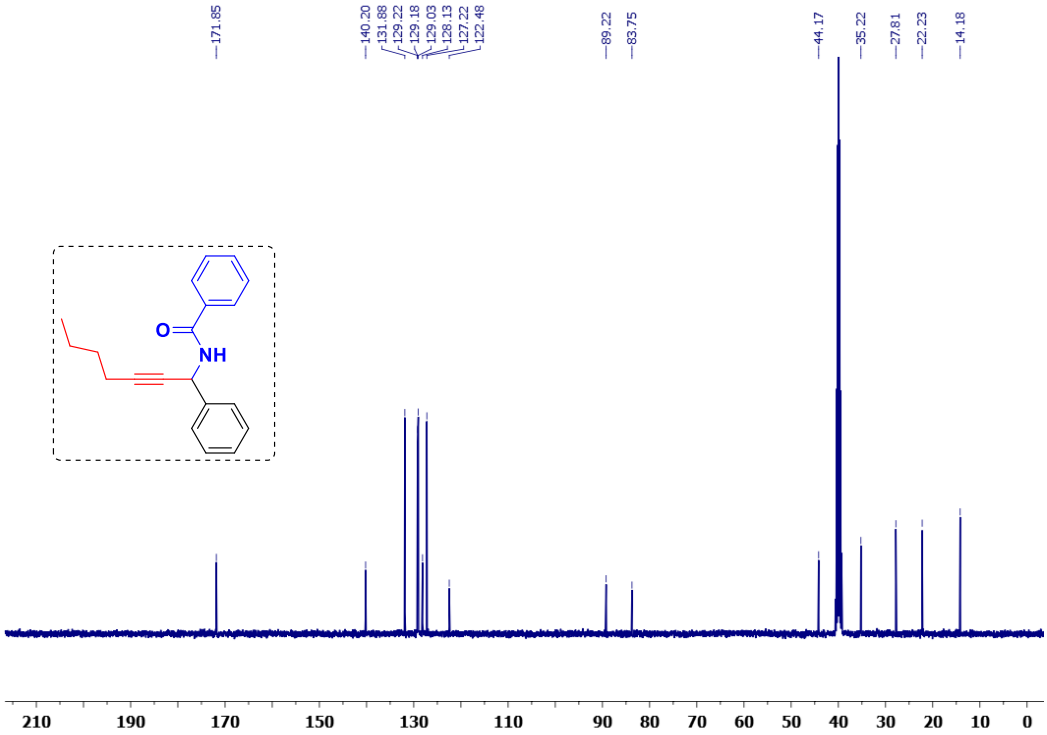
^{13}C NMR (101 MHz, DMSO- d_6) of **4x**



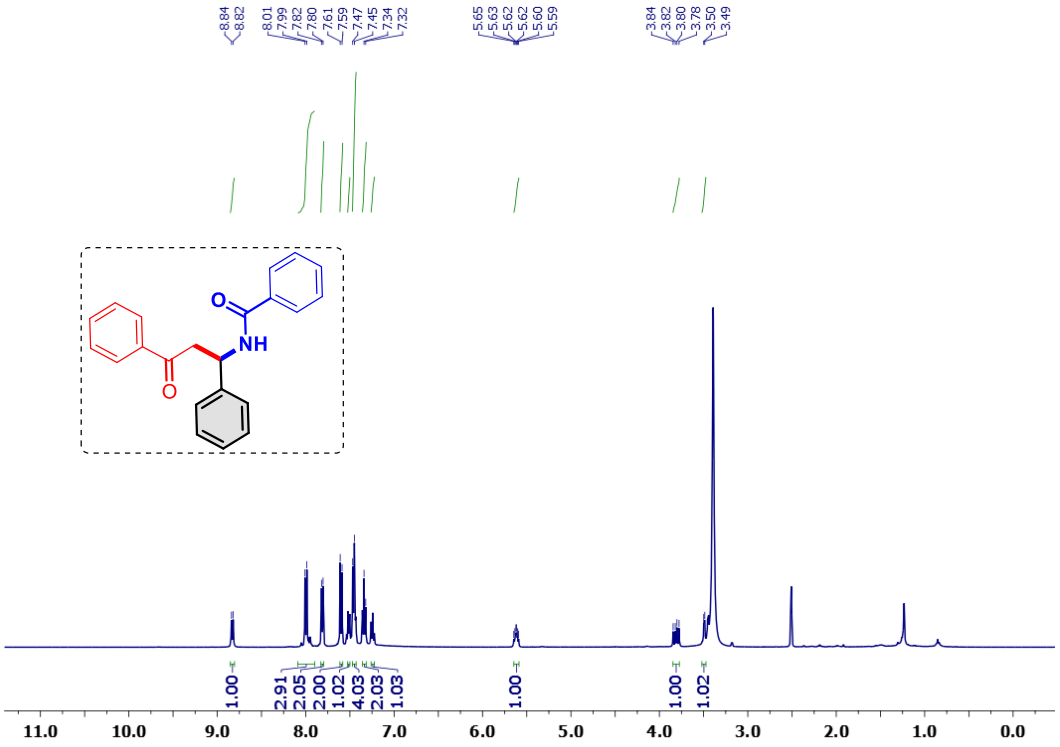
^{1}H NMR (400 MHz, DMSO-d_6) of **4y**



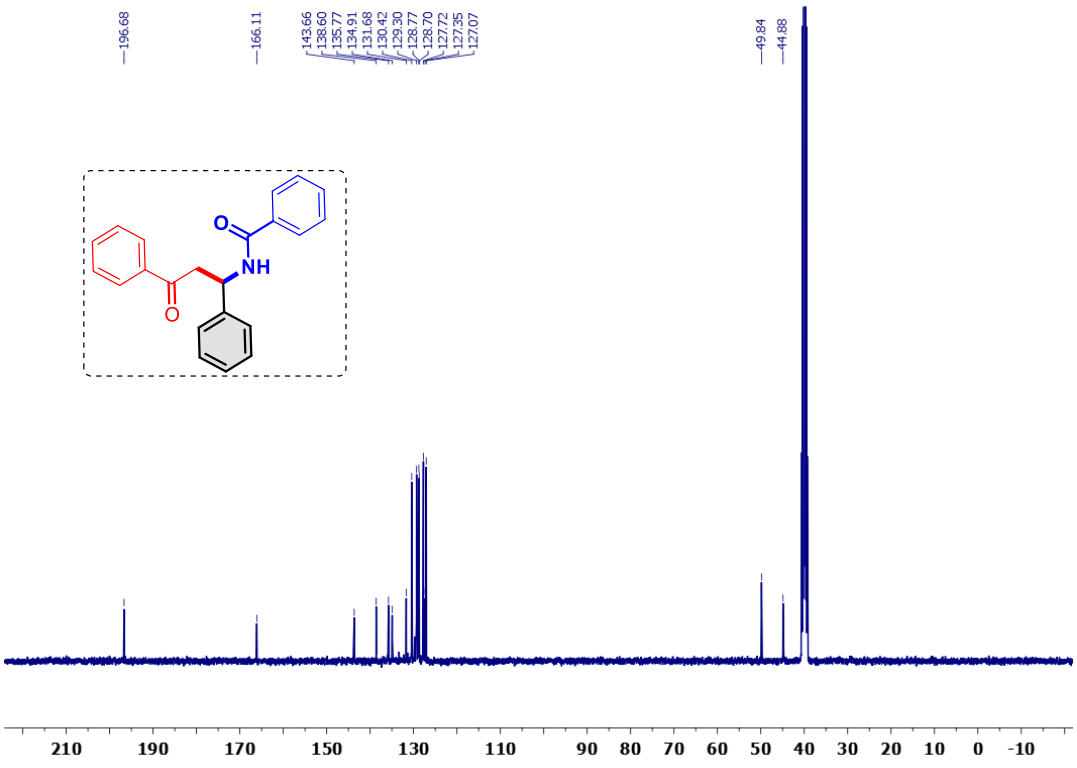
^{13}C NMR (101 MHz, DMSO- d_6) of **4y**



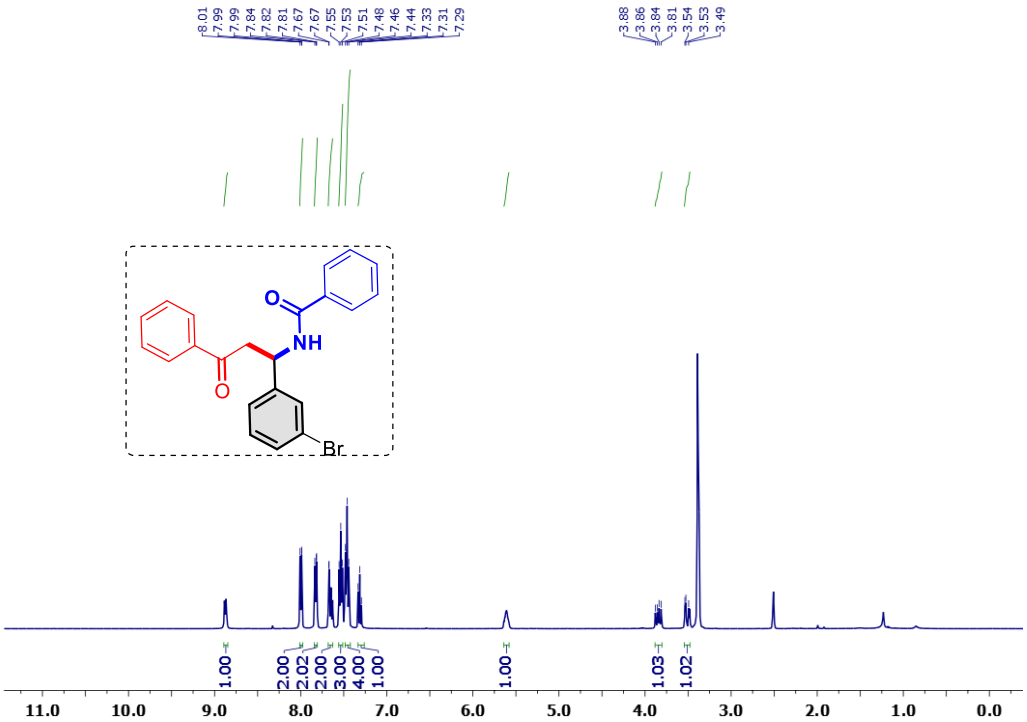
^{1}H NMR (400 MHz, DMSO-d_6) of **5a**



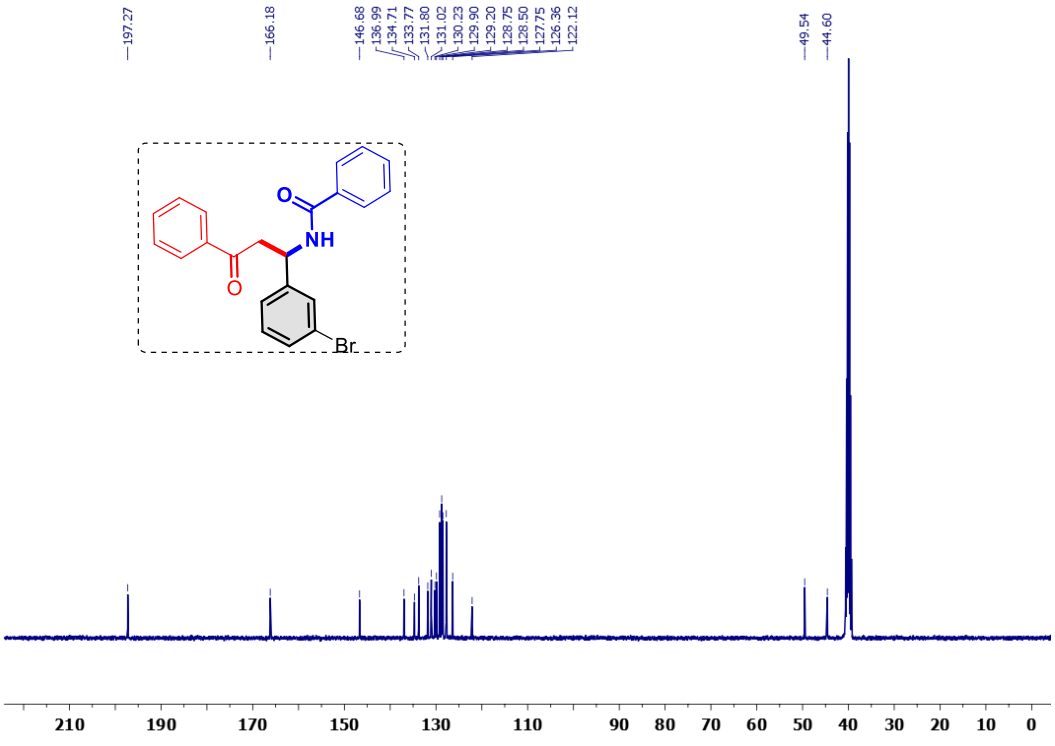
^{13}C NMR (101 MHz, DMSO- d_6) of **5a**



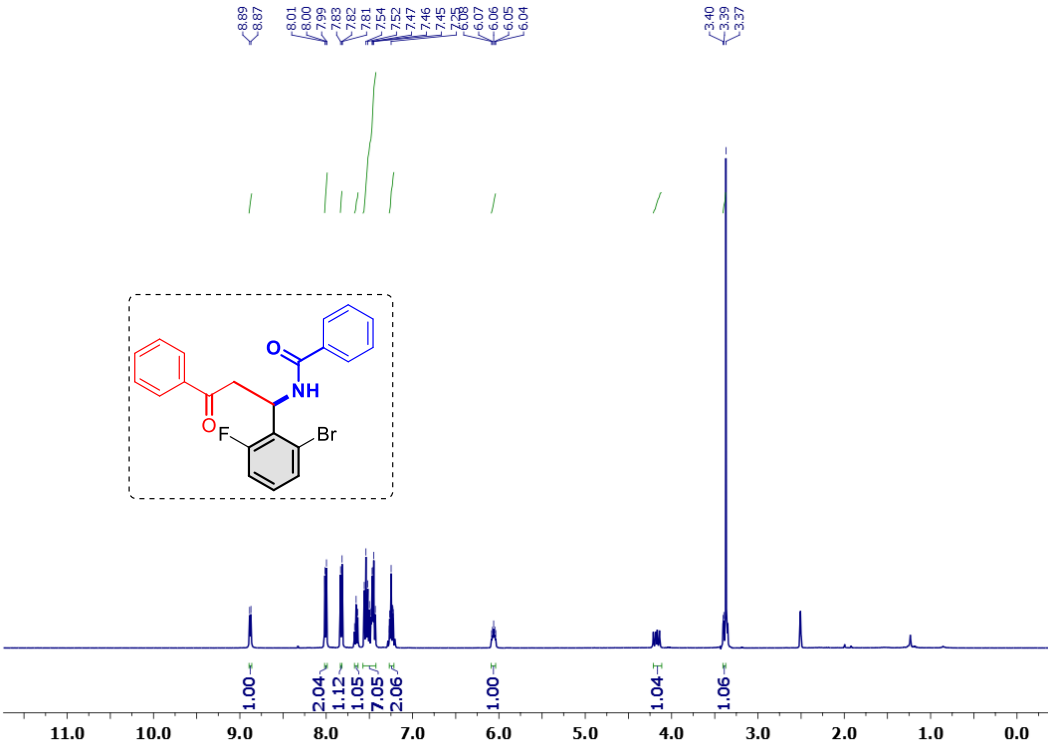
^{1}H NMR (400 MHz, DMSO-d_6) of **5b**



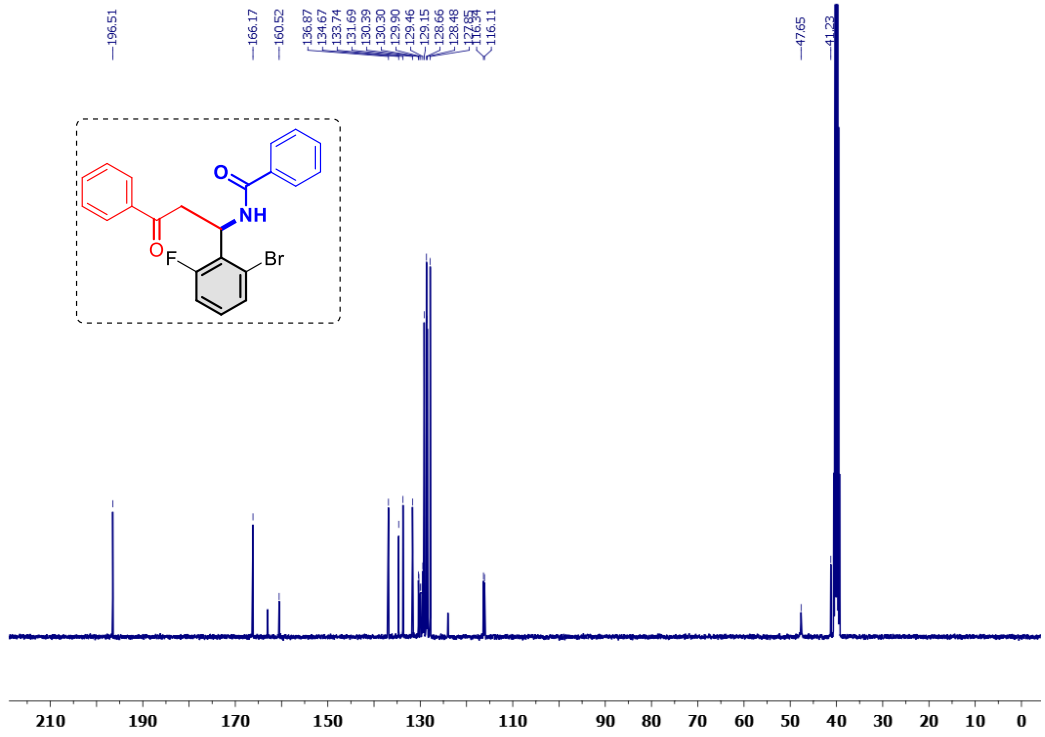
^{13}C NMR (101 MHz, DMSO- d_6) of **5b**



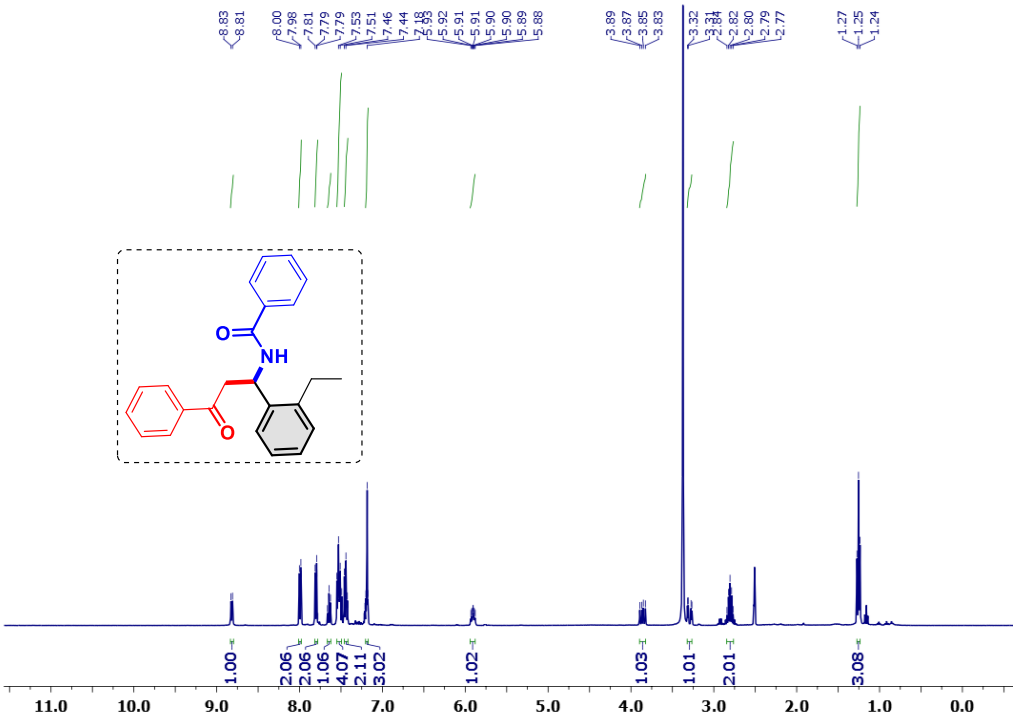
^{1}H NMR (400 MHz, DMSO-d_6) of **5c**



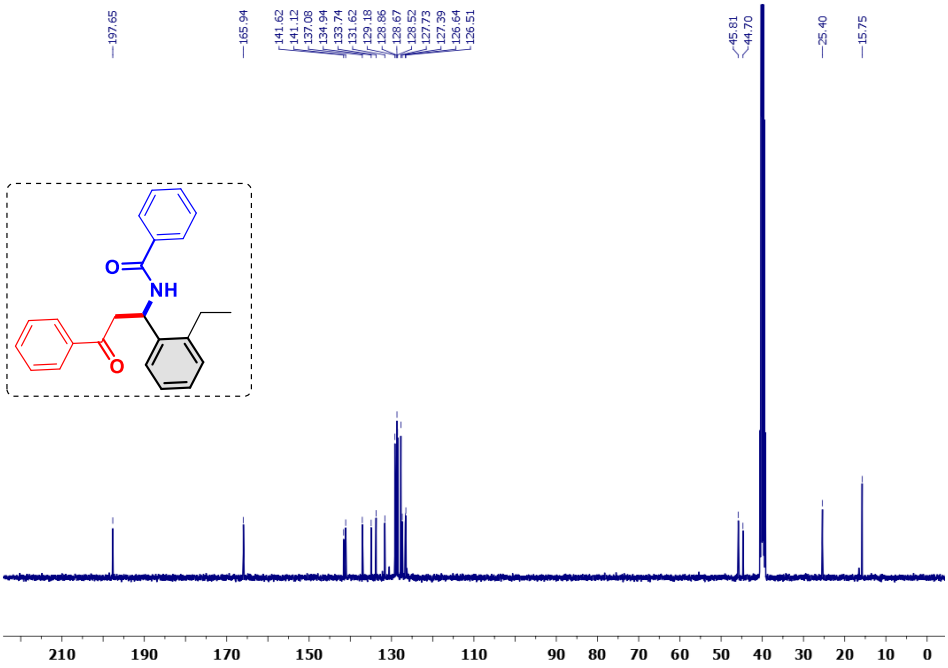
^{13}C NMR (101 MHz, DMSO- d_6) of **5c**



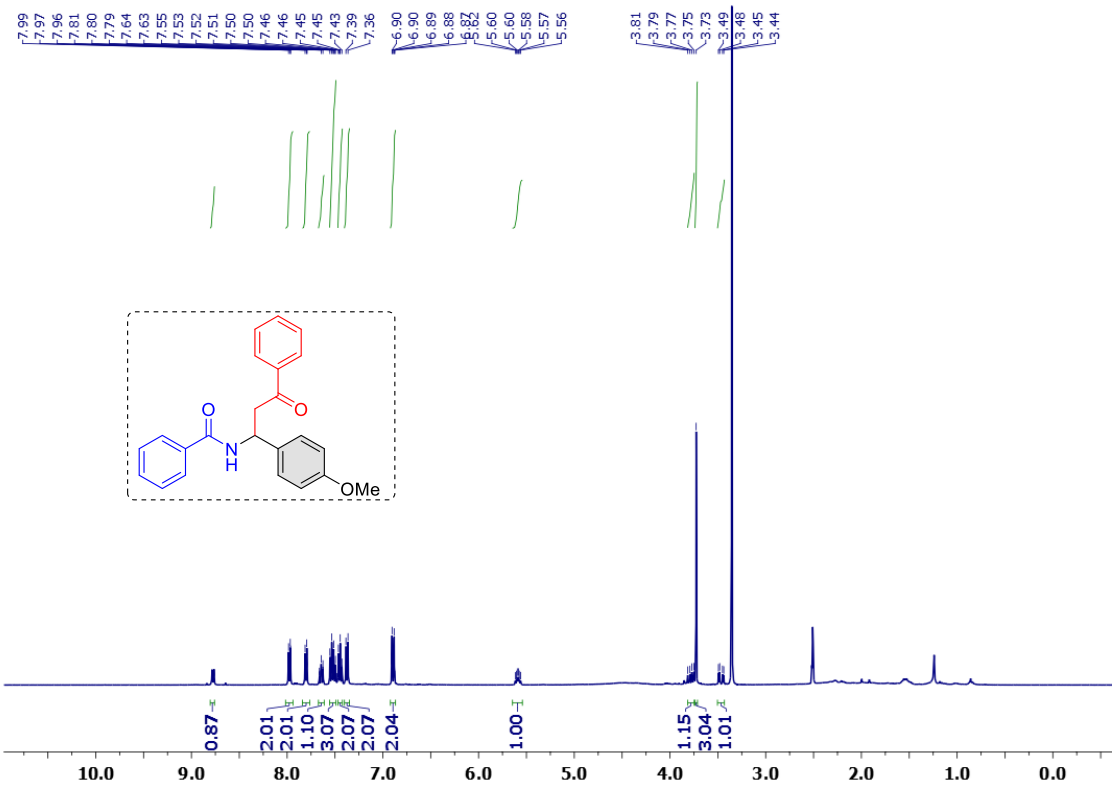
^{1}H NMR (400 MHz, DMSO-d_6) of **5d**



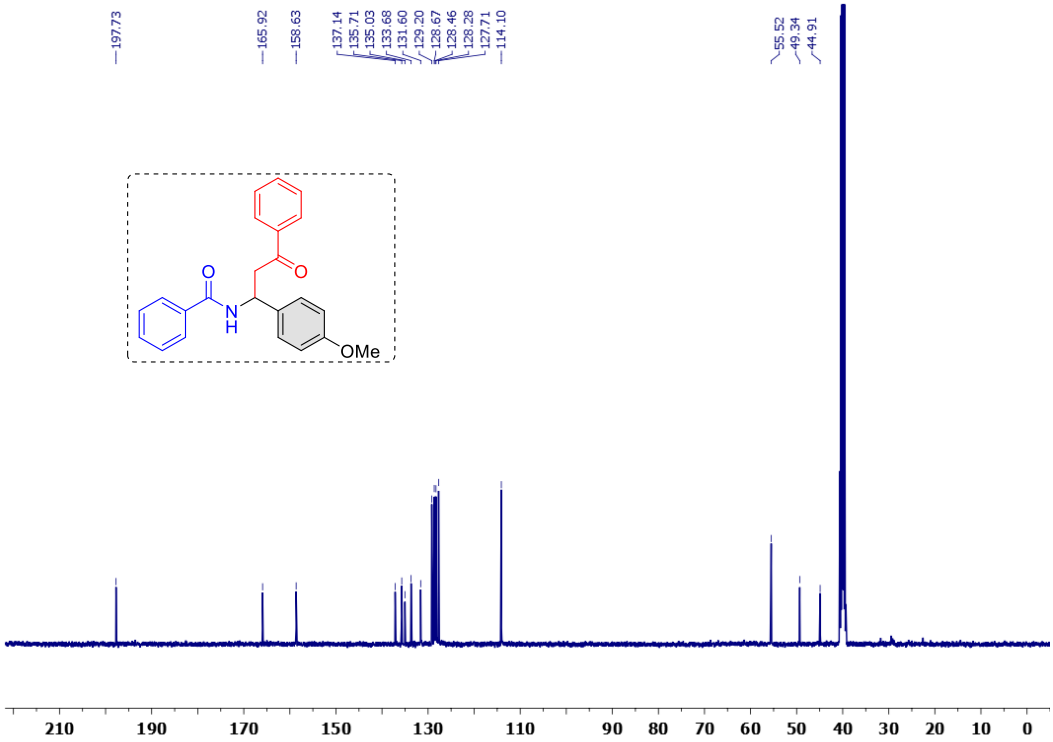
^{13}C NMR (101 MHz, DMSO- d_6) of **5d**



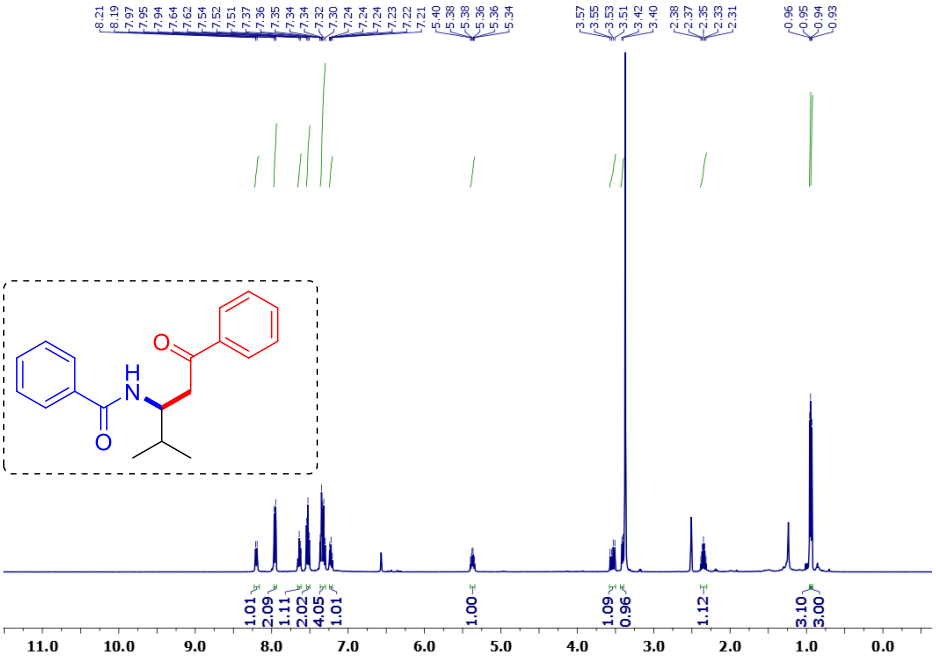
^{1}H NMR (400 MHz, DMSO-d_6) of **5e**



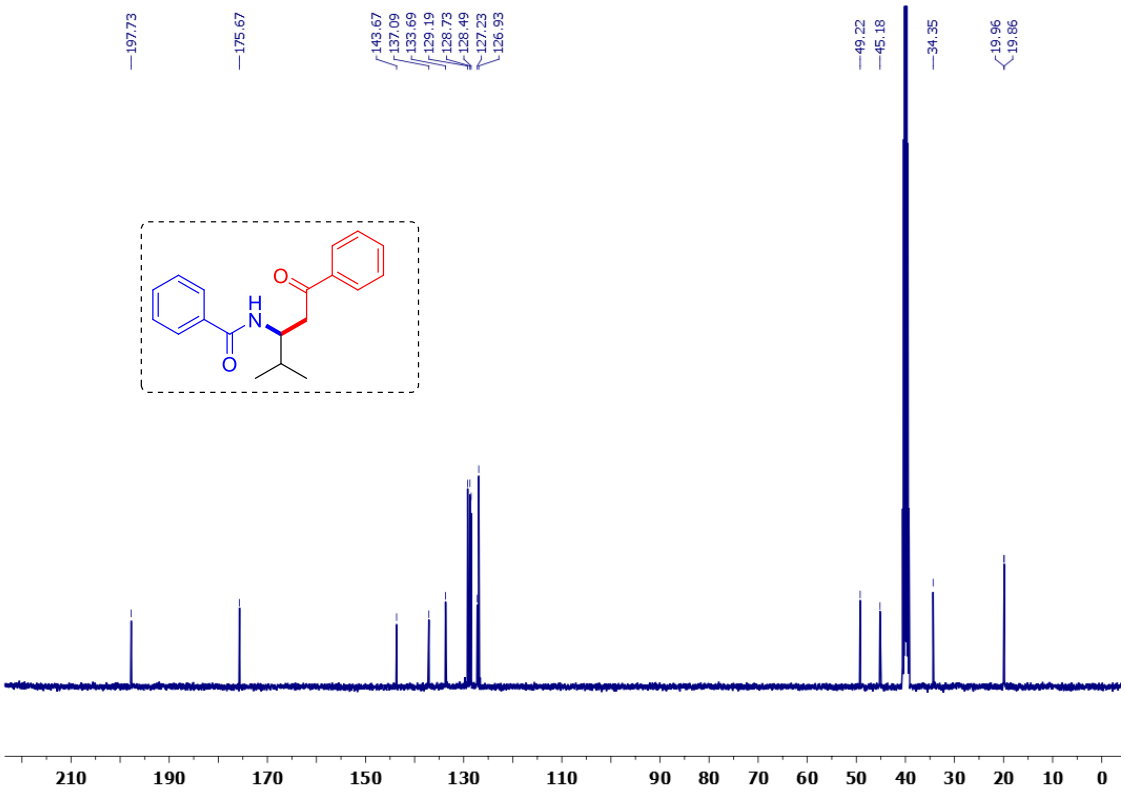
^{13}C NMR (101 MHz, DMSO- d_6) of **5e**



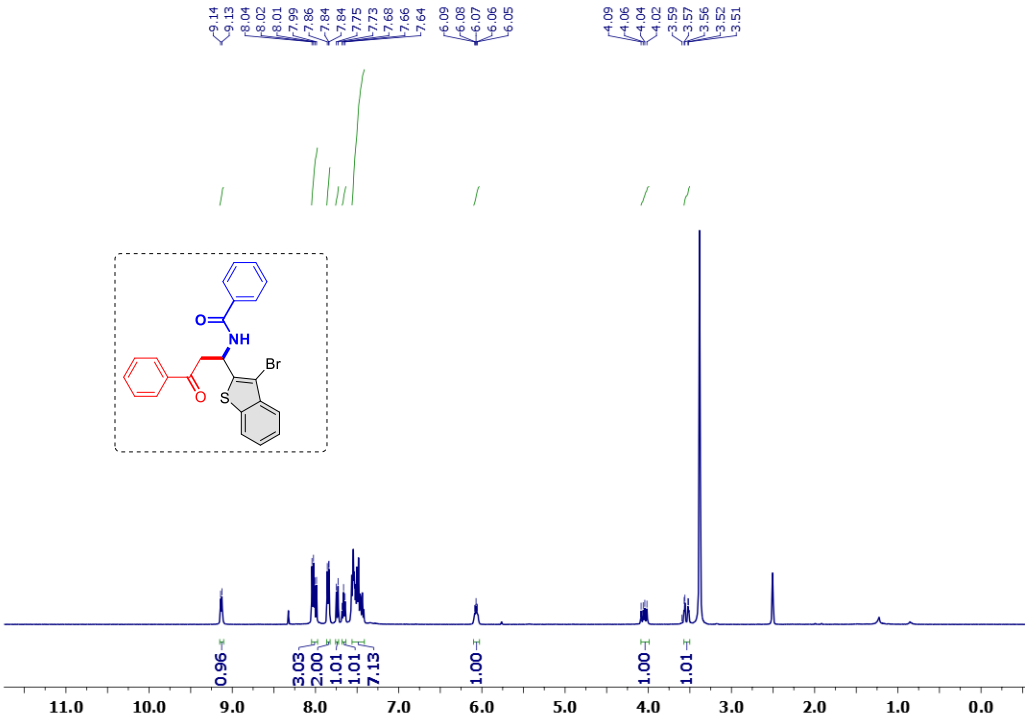
^{1}H NMR (400 MHz, DMSO-d_6) of **5f**



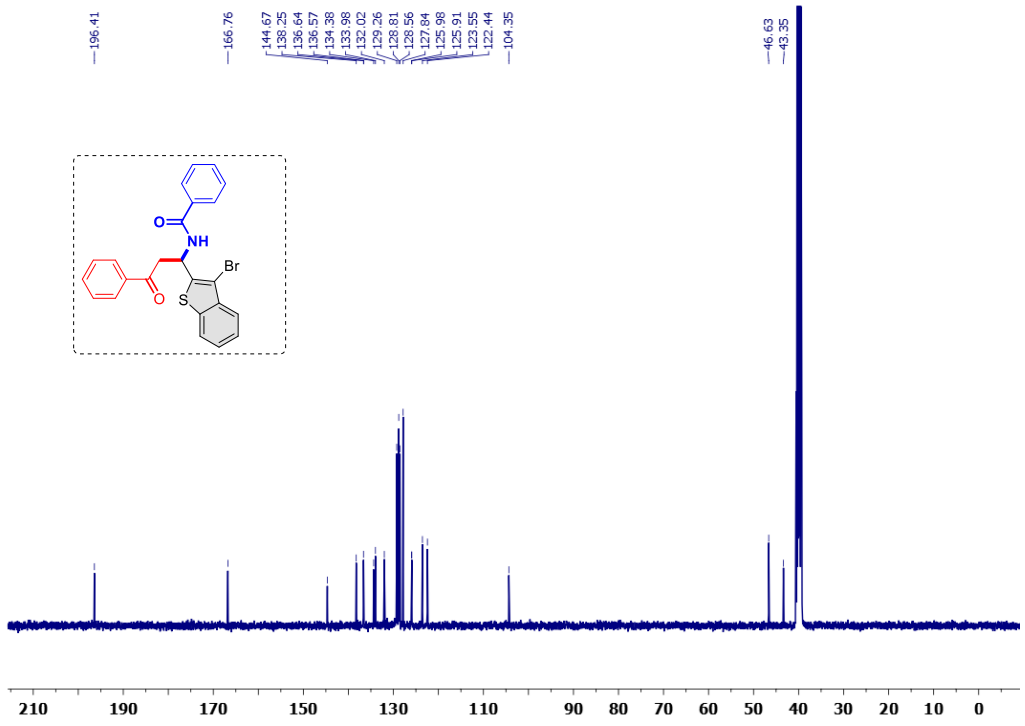
^{13}C NMR (101 MHz, DMSO- d_6) of **5f**



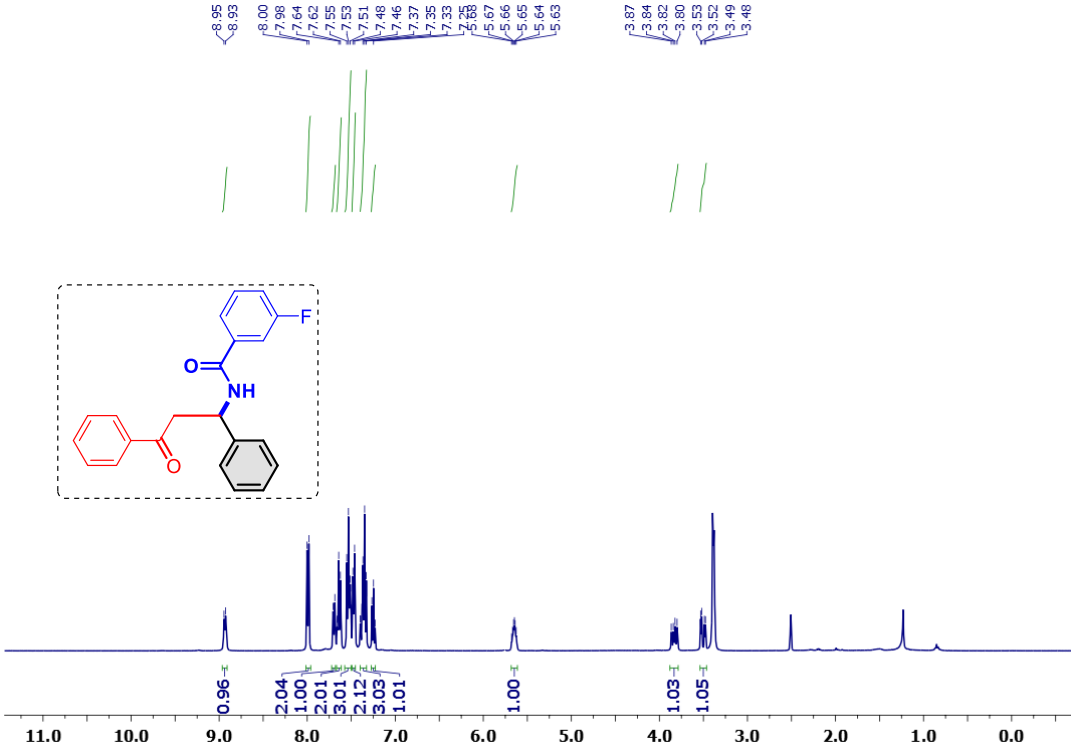
^{1}H NMR (400 MHz, DMSO-d_6) of **5g**



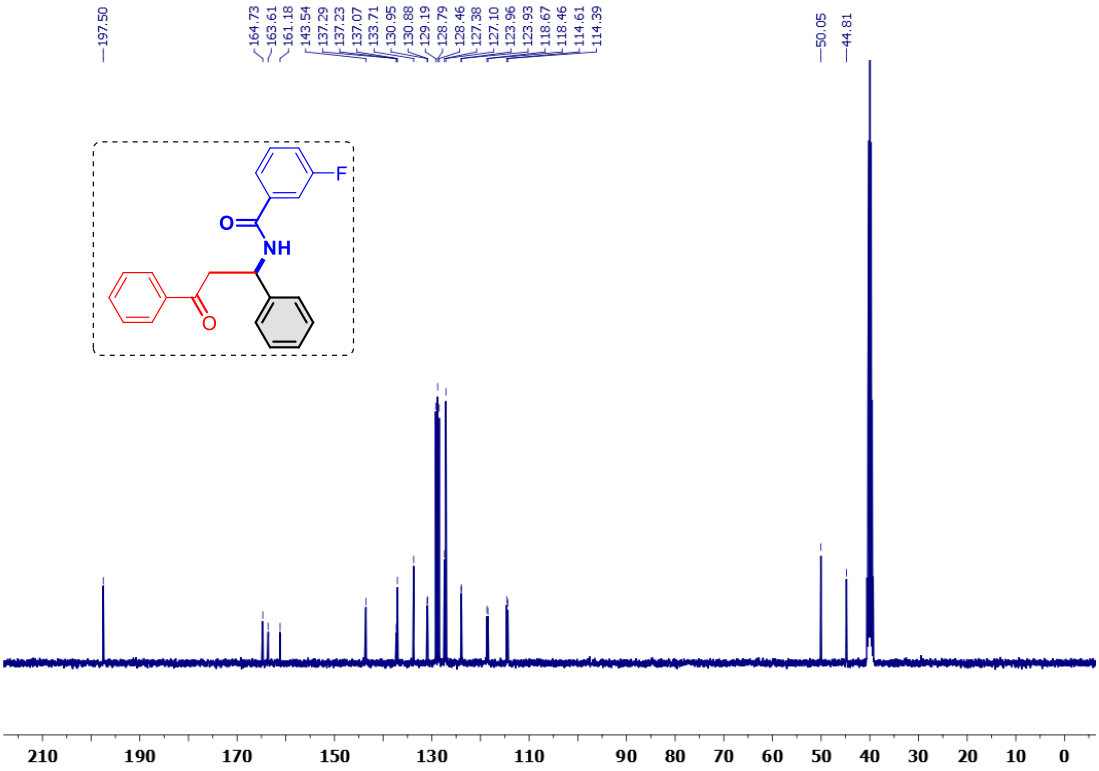
^{13}C NMR (101 MHz, DMSO- d_6) of **5g**



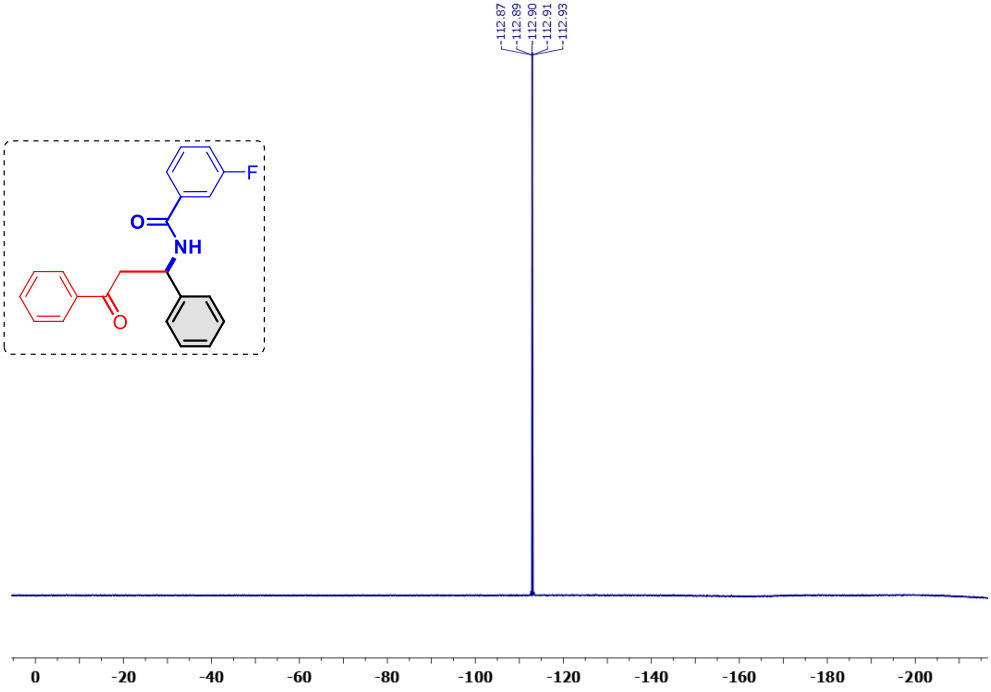
^{1}H NMR (400 MHz, DMSO-d_6) of **5h**



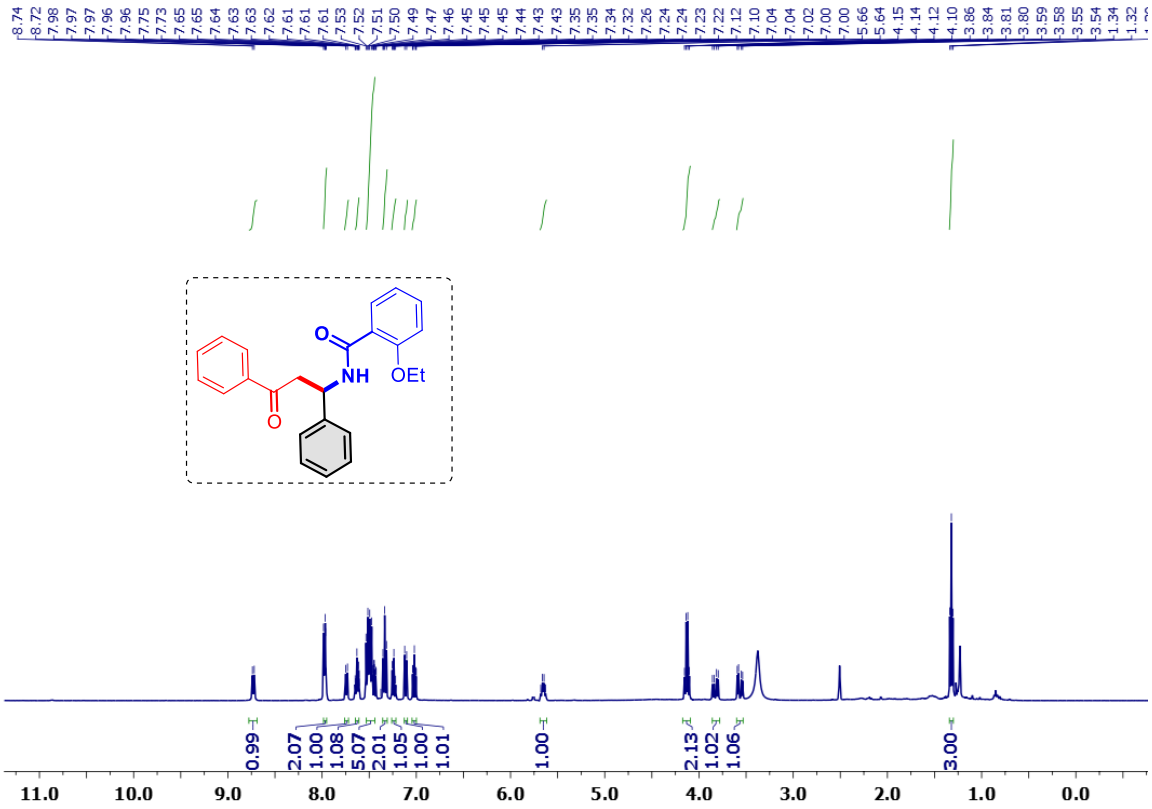
^{13}C NMR (101 MHz, DMSO- d_6) of **5h**



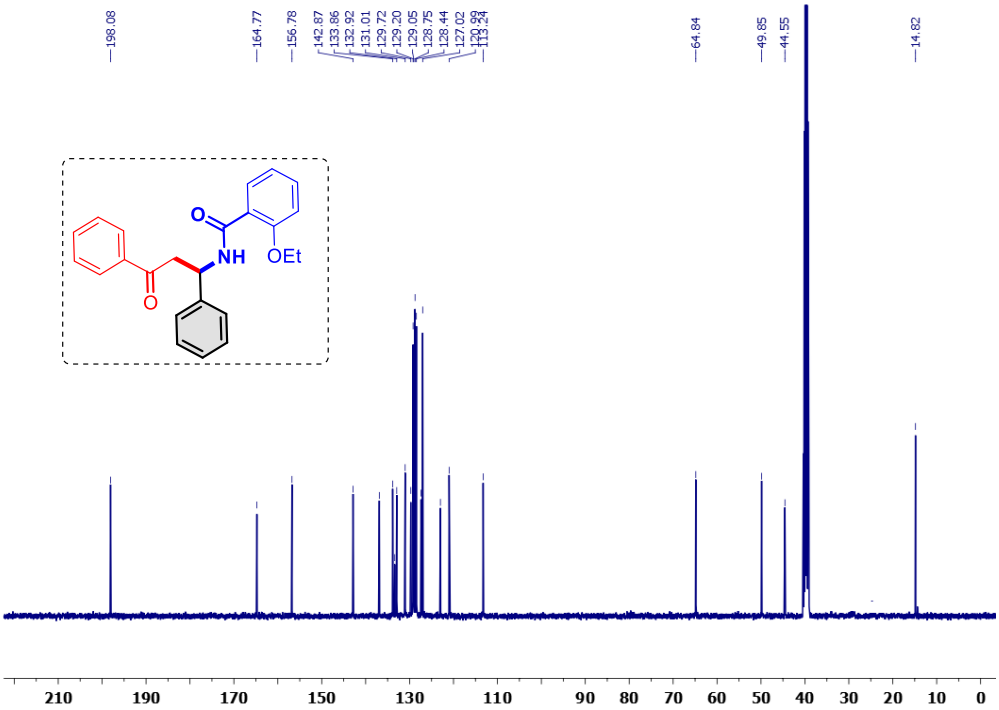
^{19}F NMR (377 MHz, DMSO-d$_6$) of **5h**



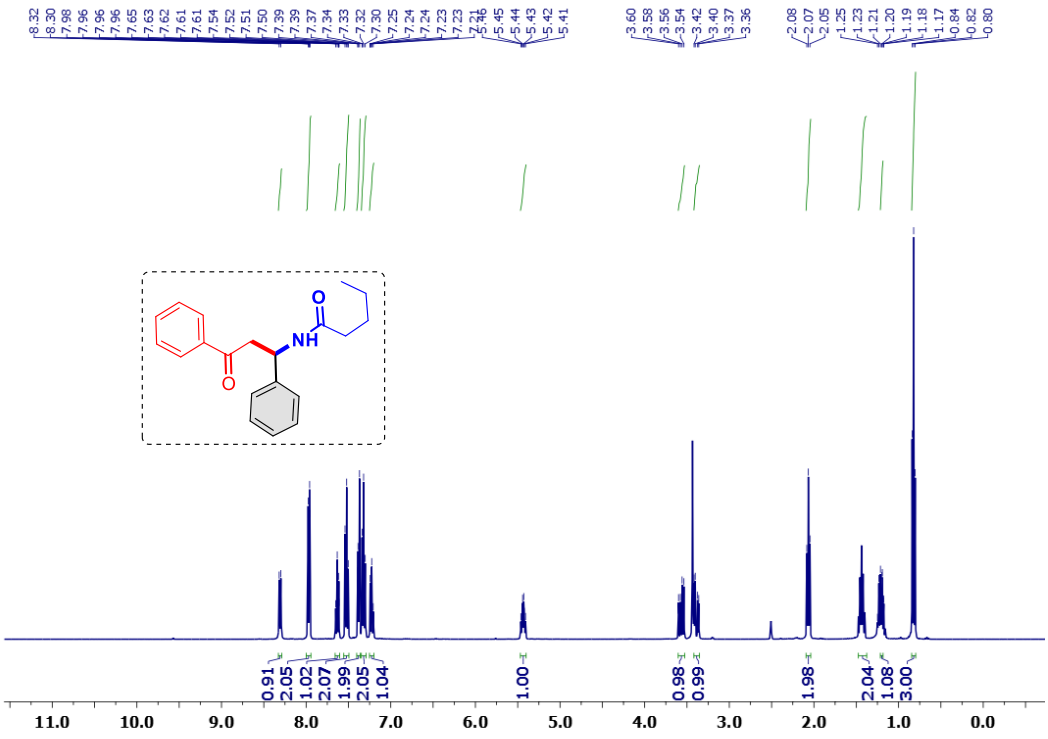
^{1}H NMR (400 MHz, DMSO-d$_6$) of **5i**



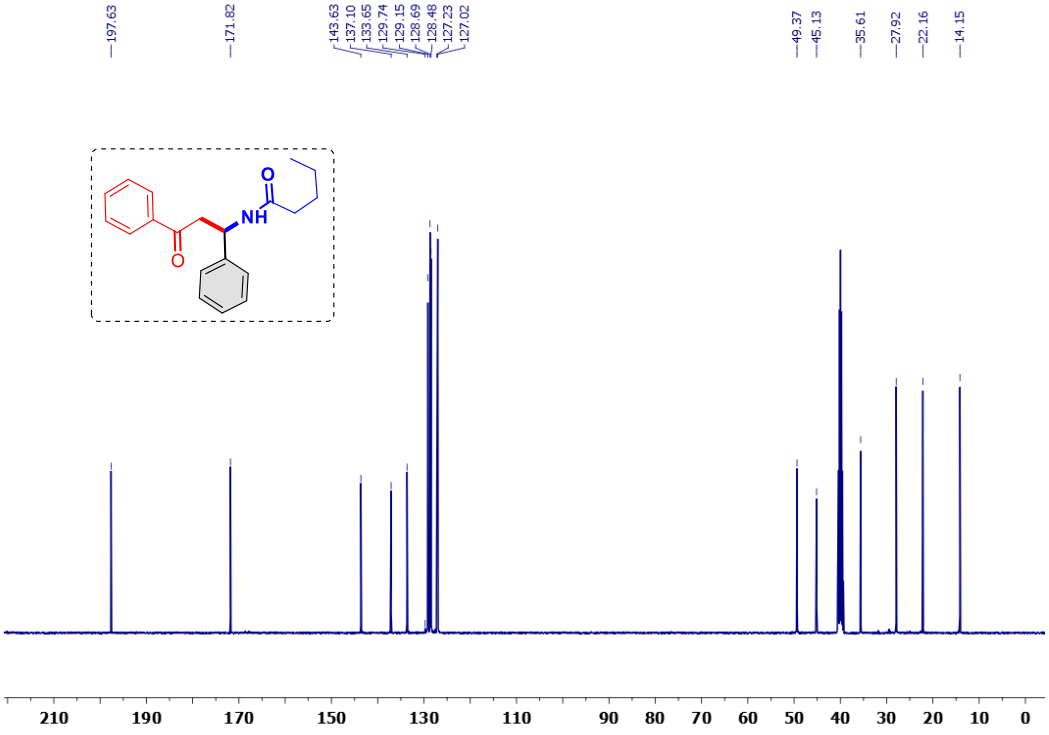
^{13}C NMR (101 MHz, DMSO- d_6) of **5i**



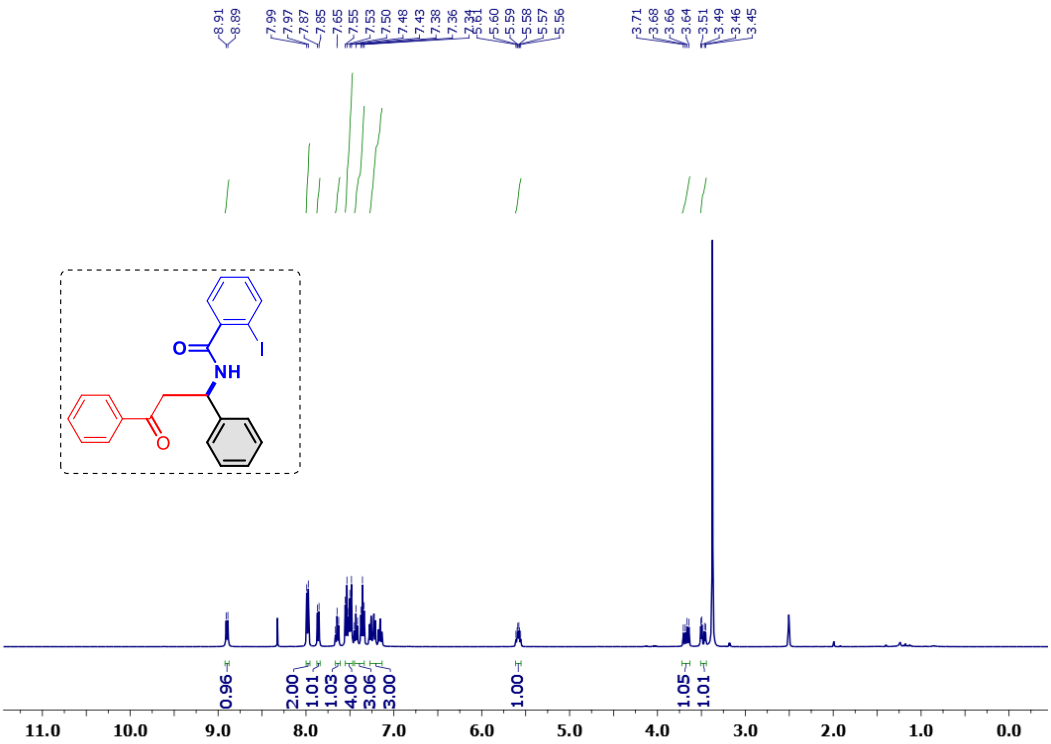
^{1}H NMR (400 MHz, DMSO-d_6) of **5j**



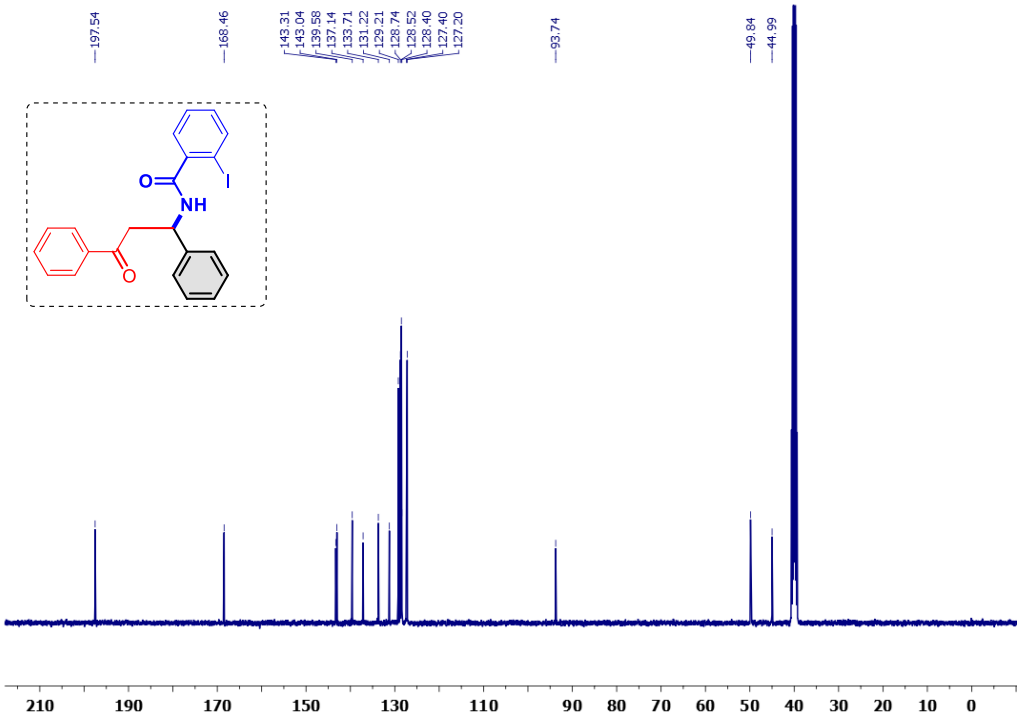
^{13}C NMR (101 MHz, DMSO- d_6) of **5j**



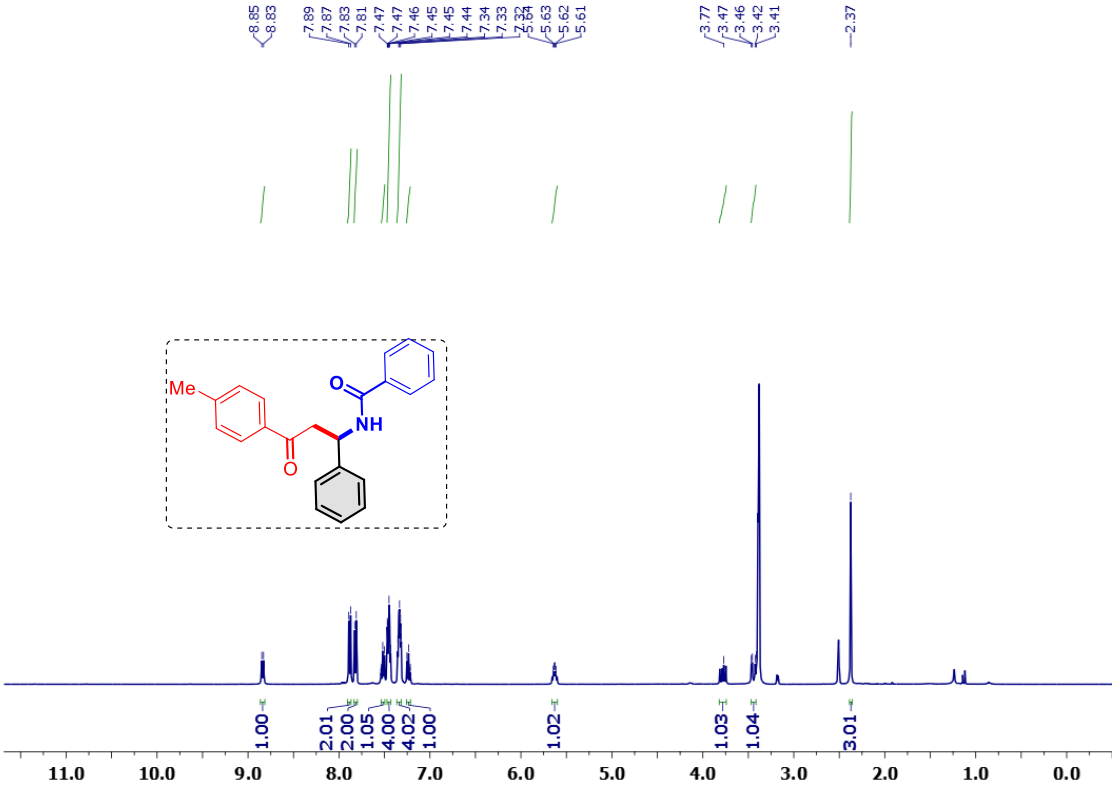
^{1}H NMR (400 MHz, DMSO-d_6) of **5j**



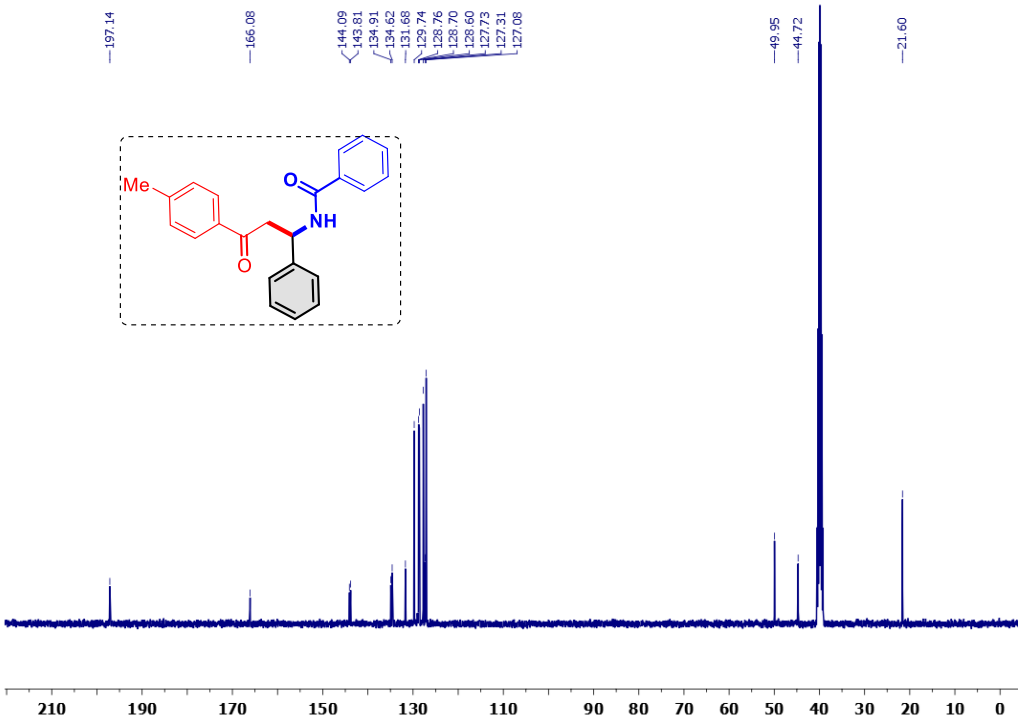
^{13}C NMR (101 MHz, DMSO- d_6) of **5k**



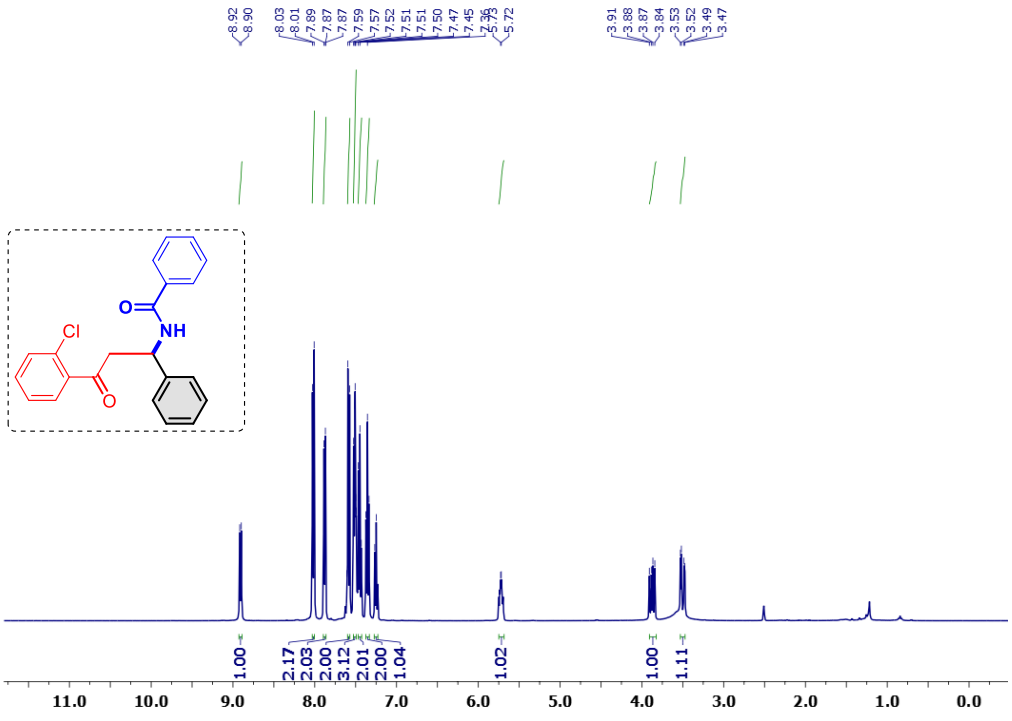
^{1}H NMR (400 MHz, DMSO-d_6) of **5l**



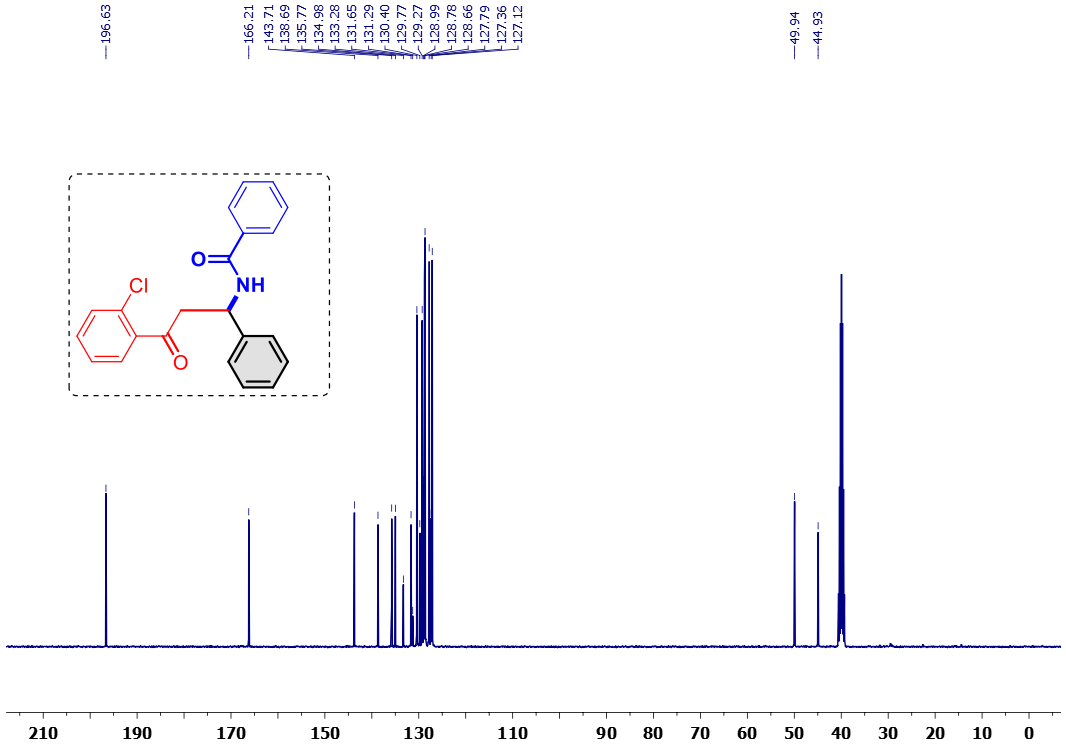
^{13}C NMR (101 MHz, DMSO- d_6) of **5l**



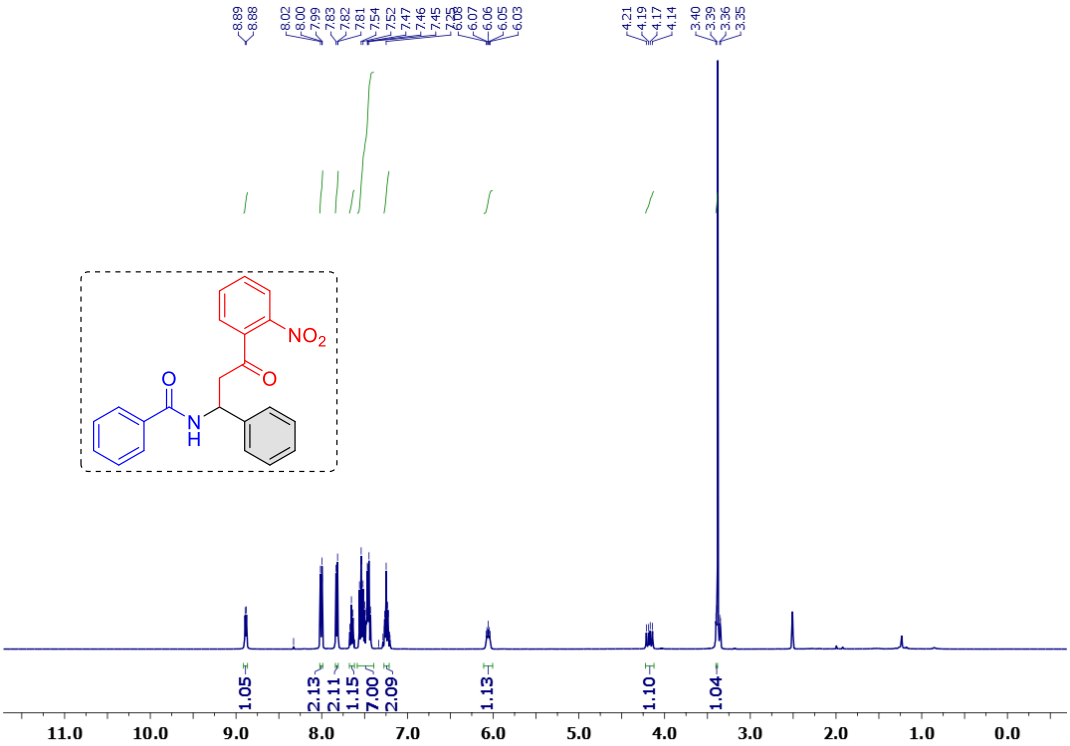
^{1}H NMR (400 MHz, DMSO-d_6) of **5m**



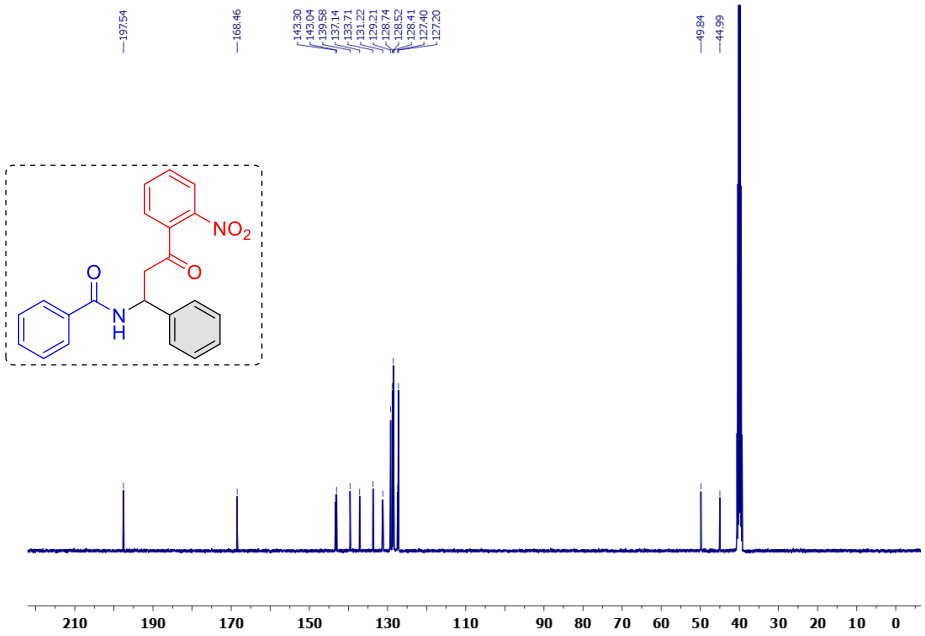
^{13}C NMR (101 MHz, DMSO- d_6) of **5m**



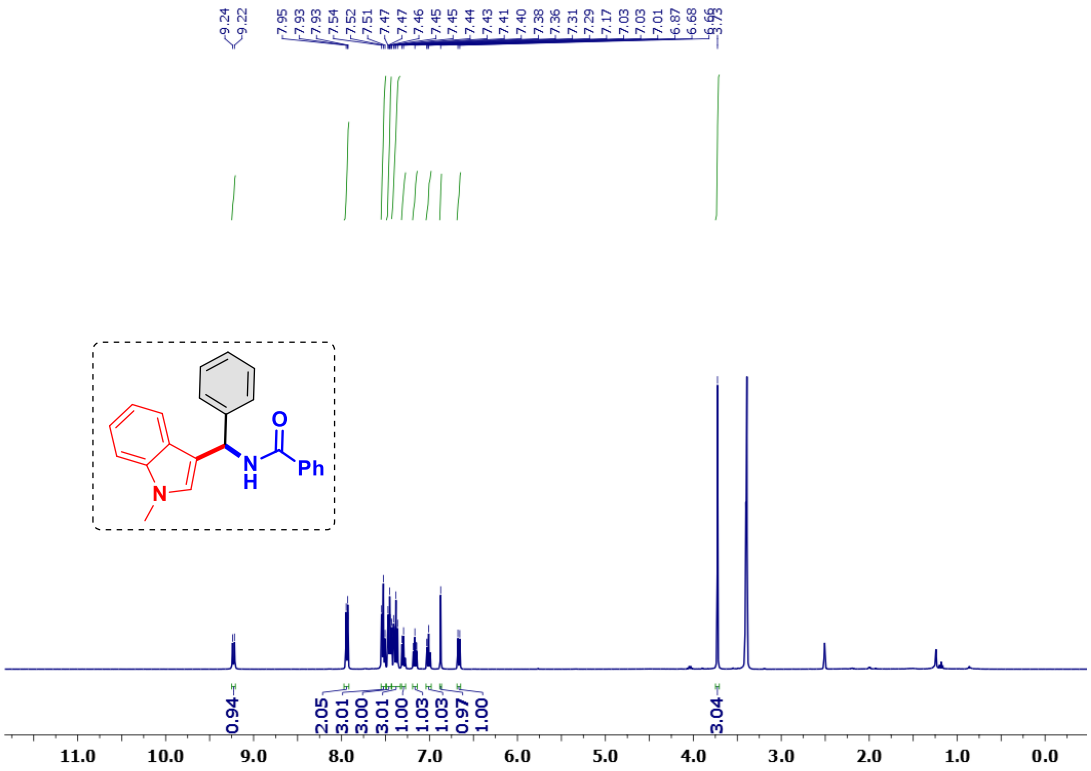
^{1}H NMR (400 MHz, DMSO-d_6) of **5n**



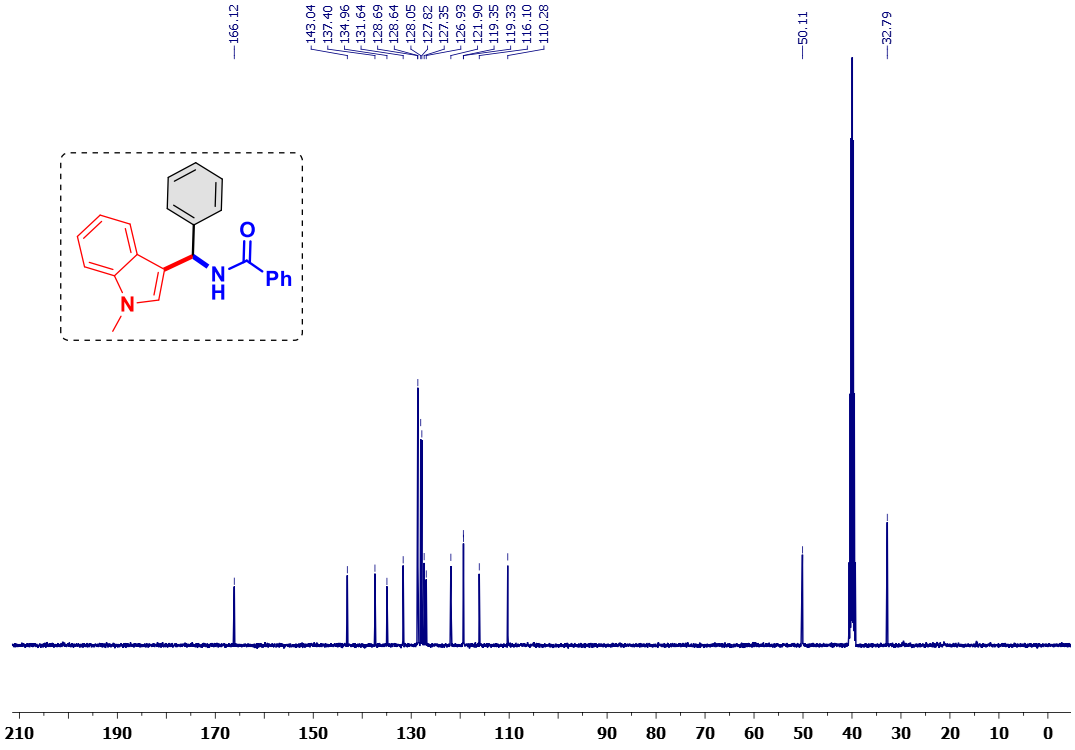
^{13}C NMR (101 MHz, DMSO- d_6) of **5n**



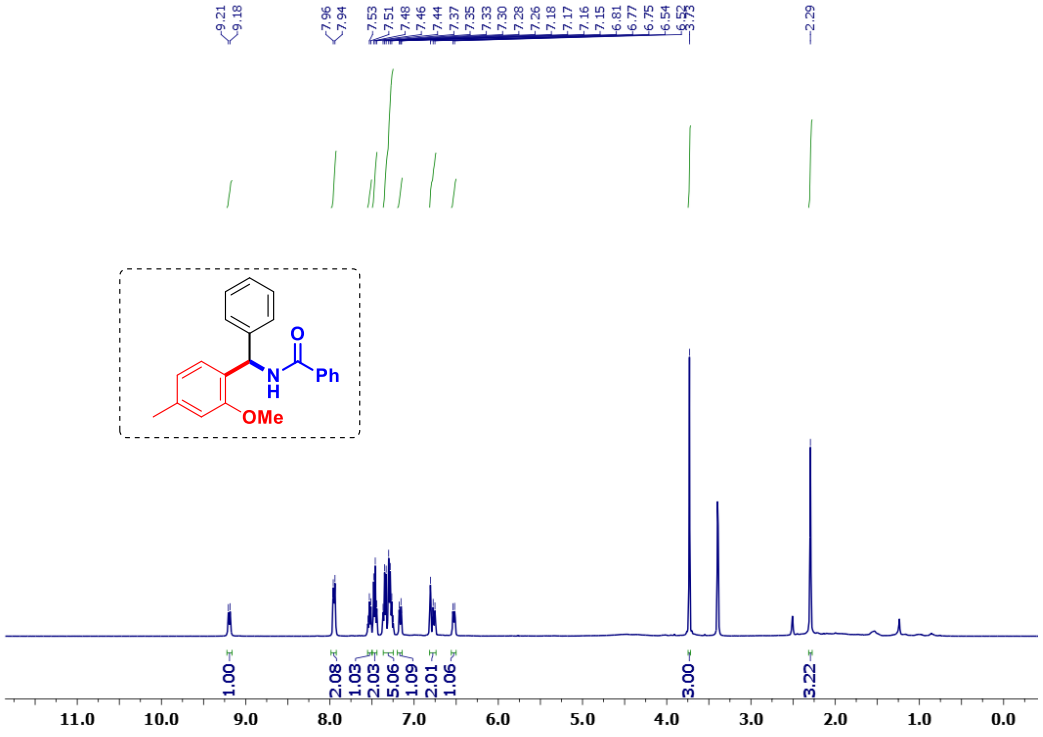
^{1}H NMR (400 MHz, DMSO-d_6) of **10**



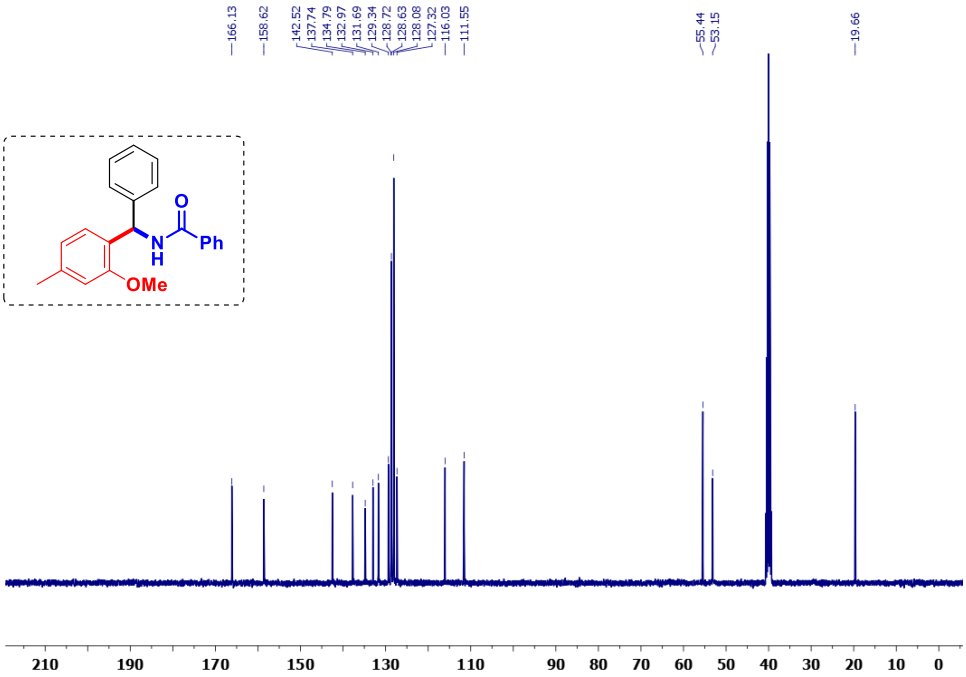
^{13}C NMR (101 MHz, DMSO- d_6) of **10**



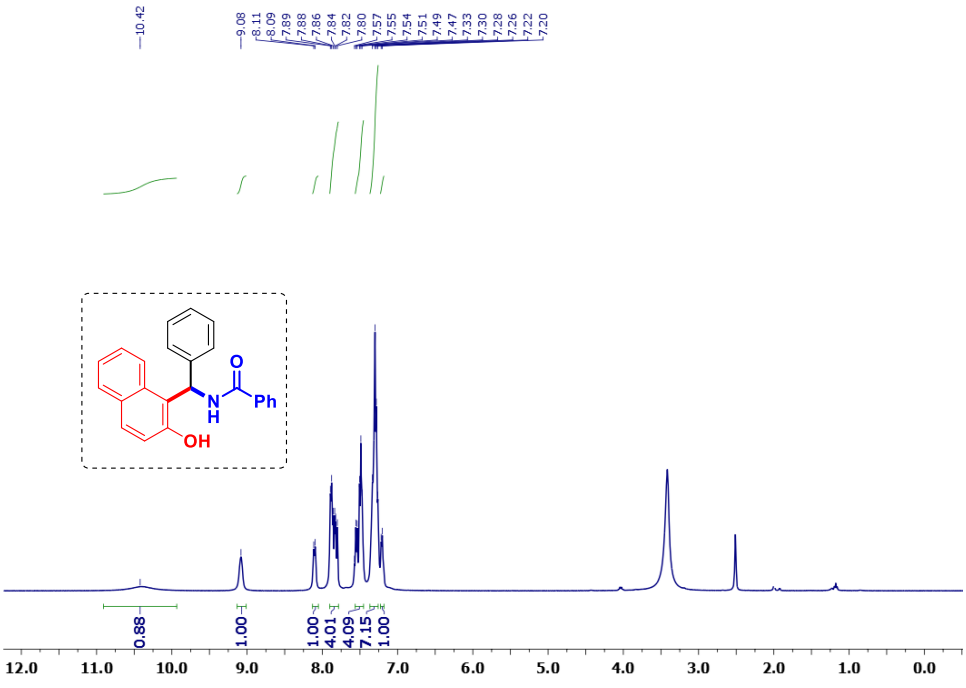
^{1}H NMR (400 MHz, DMSO-d_6) of **11**



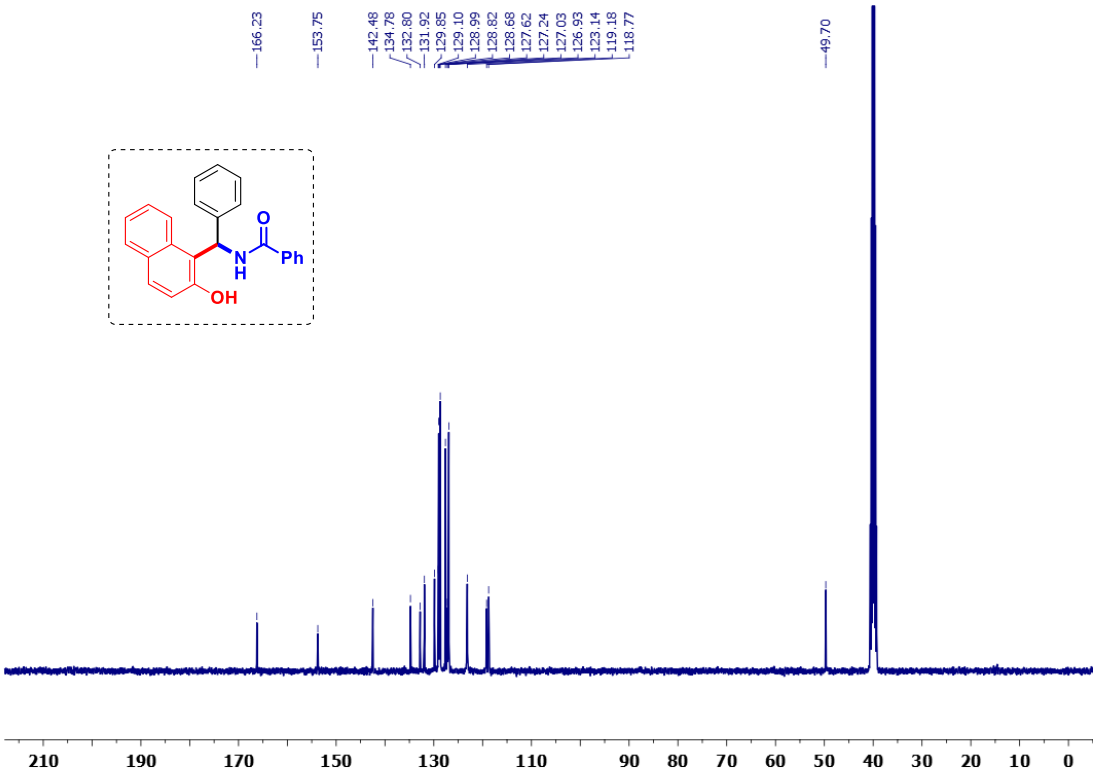
^{13}C NMR (101 MHz, DMSO- d_6) of **11**



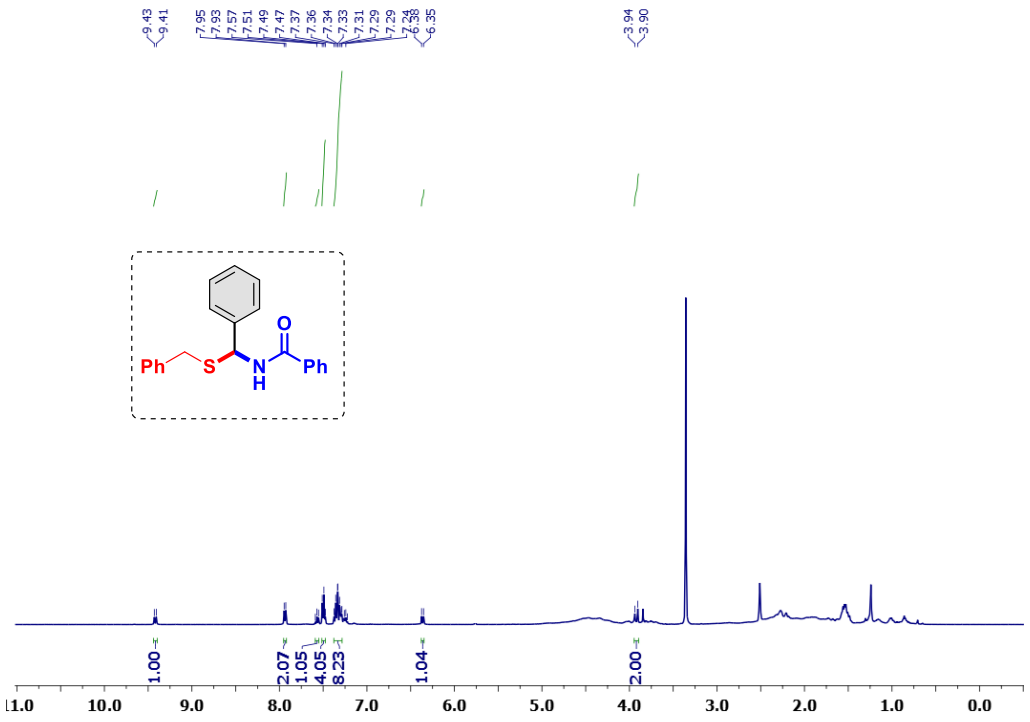
^{1}H NMR (400 MHz, DMSO-d_6) of **12**



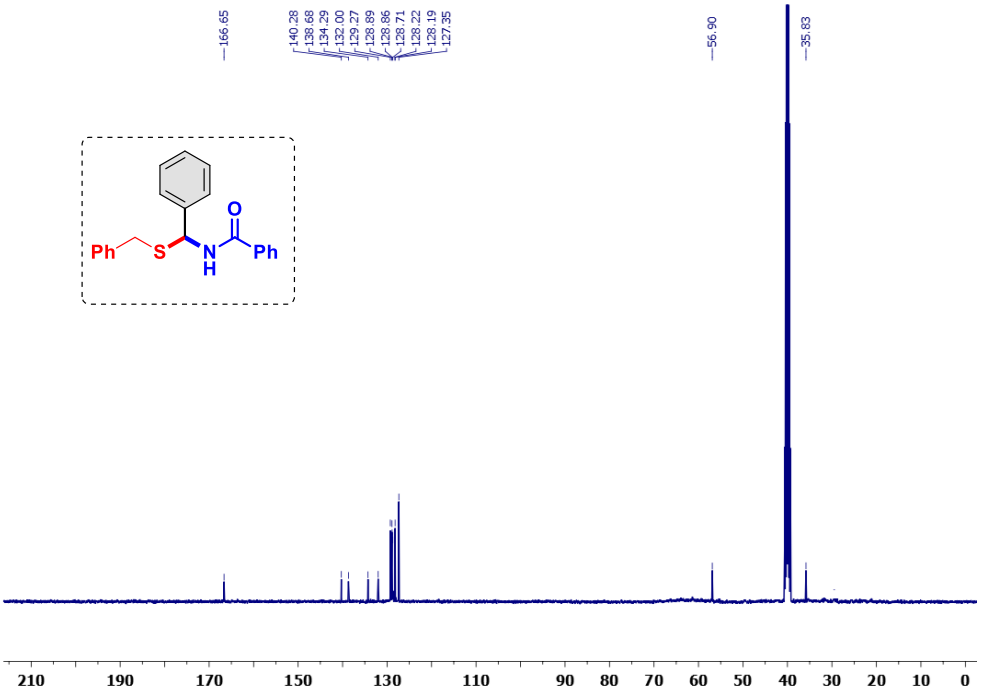
^{13}C NMR (101 MHz, DMSO- d_6) of **12**



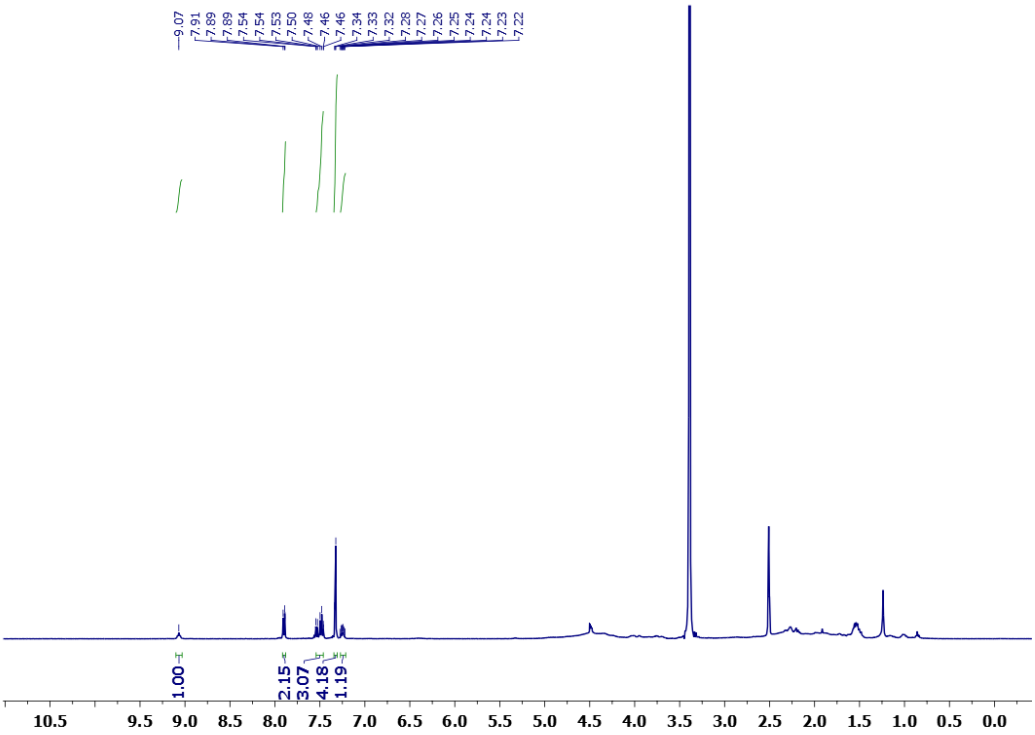
^{1}H NMR (400 MHz, DMSO-d_6) of **13**



^{13}C NMR (101 MHz, DMSO- d_6) of **13**



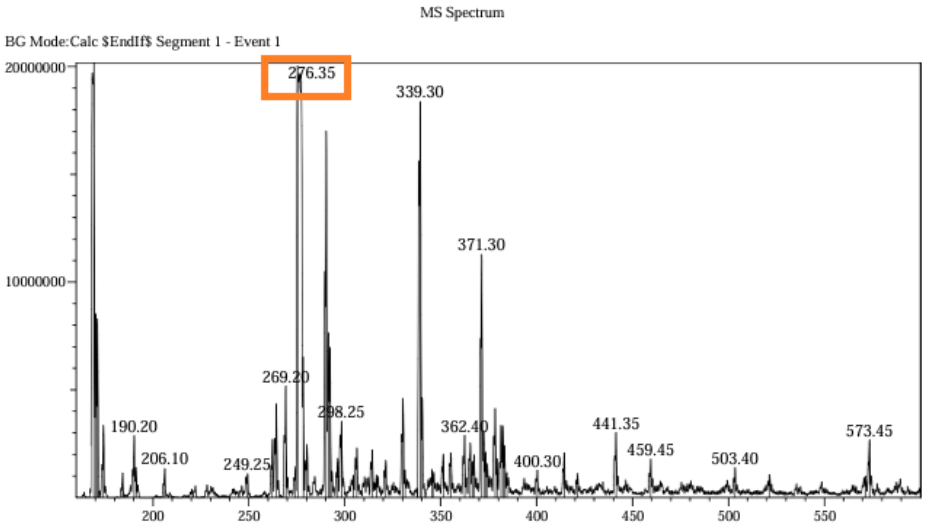
^{1}H NMR (400 MHz, DMSO-d_6) of **14**



LC-MS of **14:**

Sample Information

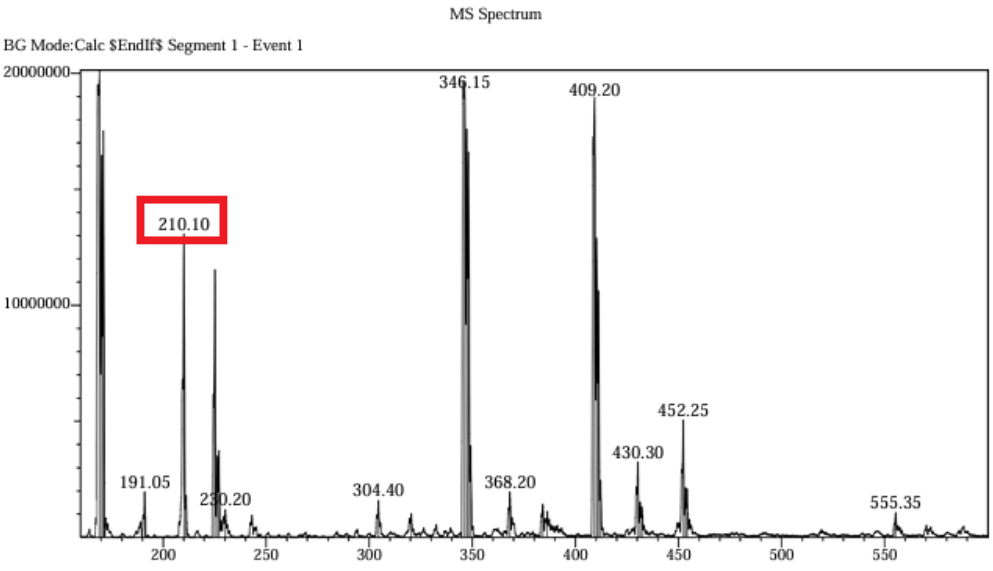
Sample Name	**: S2-intermediate**	**Sample ID**	**: SAAA-33U**
Tray#	**: 1**	**Vial#**	**: 8**
Injection Volume	**: 2**	**Data File**	**: 13-11-2024_08.lcd**
Method File	**: MASS SCANN_14.11.2024_100-600.lcm**	**Processed by**	**: System Administrator**
Date Processed	**: 11/14/2024 1:55:01 PM**		



LC-MS of **Intermediate VI**

Sample Information

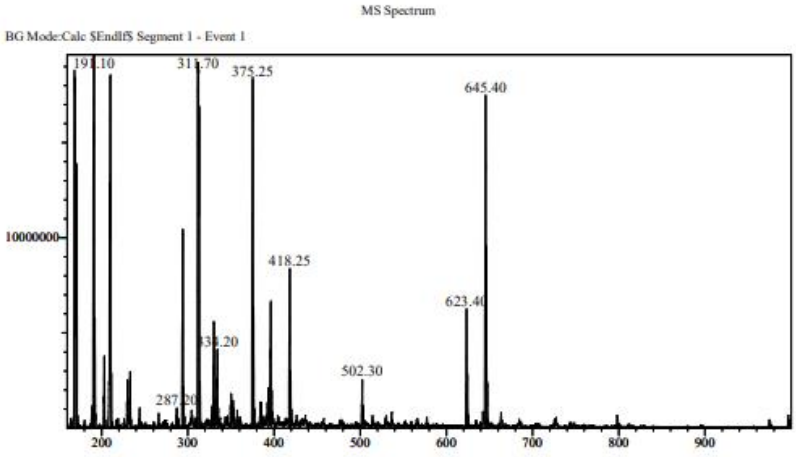
Sample Name	**: S1-Intermediate**	**Sample ID**	**: S1-Intermediate**
Tray#	**: 1**	**Vial#**	**: 12**
Injection Volume	**: 2**	**Data File**	**: 13-11-2024_12.lcd**
Method File	**: MASS SCANN_14.11.2024_100-600.lcm**	**Processed by**	**: System Administrator**
Date Processed	**: 14/14/2024 3:07:02 PM**		



LC-MS of **4a:**

Sample Information

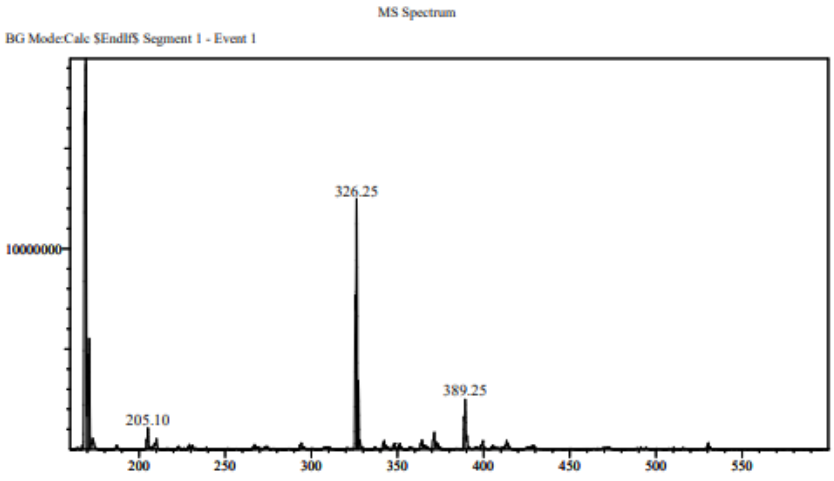
Sample Name	**: SAAA-9**	**Sample ID**	**: SAAA-9**
Tray#	**: 1**	**Vial#**	**: 58**
Injection Volume	**: 2**	**Data File**	**: 25-09-2024_58.lcd**
Method File	**: MASS SCANN_19.08.2024_100-1000.lcm**	**Processed by**	**: System Administrator**
Date Processed	**: 9/25/2024 4:40:16 PM**		



LC-MS of **4b:**

Sample Information

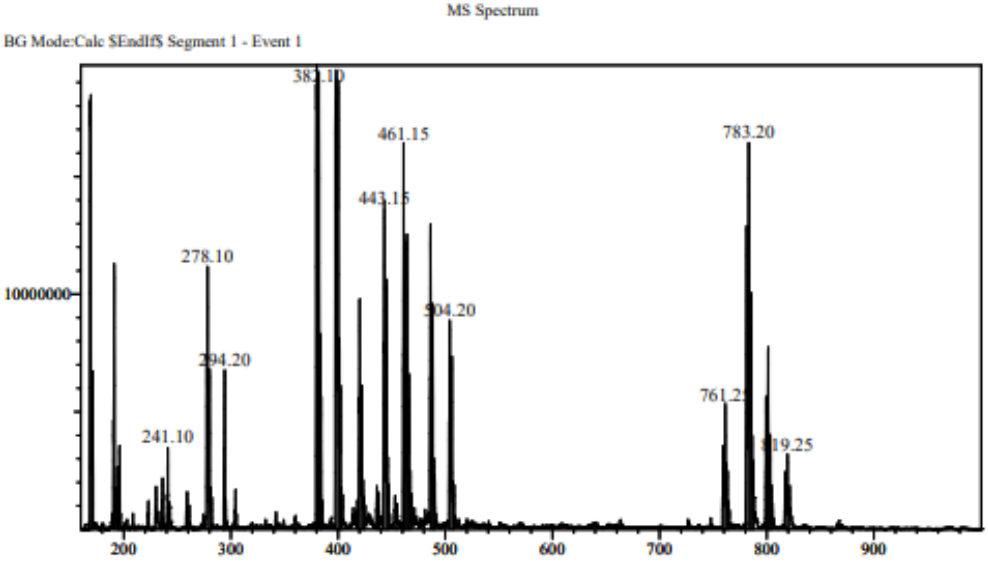
Sample Name	**: SAAA-19**	**Sample ID**	**: SAAA-19**
Tray#	**: 1**	**Vial#**	**: 18**
Injection Volume	**: 2**	**Data File**	**: 23-09-2024_18.lcd**
Method File	**: MASS SCANN_19.08.2024_100-600.lcm**	**Processed by**	**: System Administrator**
Date Processed	**: 9/23/2024 3:08:19 PM**		



LC-MS of **4e:**

Sample Information

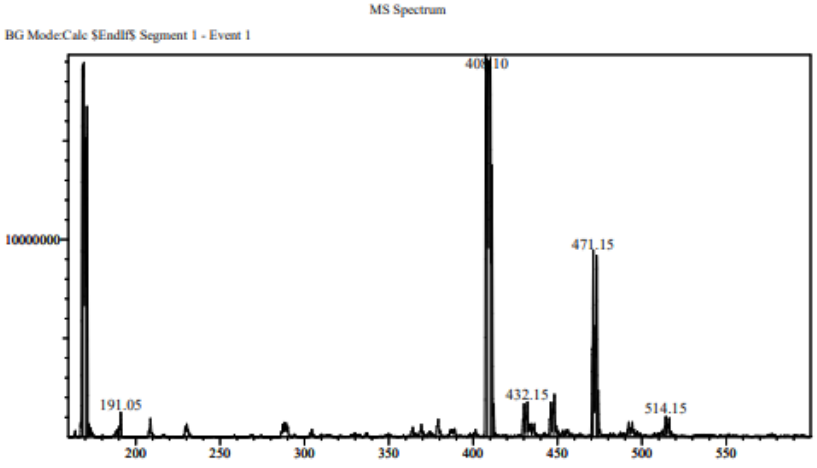
Sample Name	**: SAAA-13**	**Sample ID**	**: SAAA-13**
Tray#	**: 1**	**Vial#**	**: 59**
Injection Volume	**: 2**	**Data File**	**: 25-09-2024_59.lcd**
Method File	**: MASS SCANN_19.08.2024_100-1000.lcm**	**Processed by**	**: System Administrator**
Date Processed	**: 9/25/2024 4:40:24 PM**		



LC-MS of **5b:**

Sample Information

Sample Name	**: SAAA-29L**	**Sample ID**	**: SAAA-29L**
Tray#	**: 1**	**Vial#**	**: 14**
Injection Volume	**: 2**	**Data File**	**: 20-09-2024_14.lcd**
Method File	**: MASS SCANN_19.08.2024_100-600.lcm**	**Processed by**	**: System Administrator**
Date Processed	**: 9/20/2024 1:56:17 PM**		



LC-MS of **5h:**

Sample Information

Sample Name	**: SAAA-2L**	**Sample ID**	**: SAAA-2L**
Tray#	**: 1**	**Vial#**	**: 20**
Injection Volume	**: 2**	**Data File**	**: 23-09-2024_20.lcd**
Method File	**: MASS SCANN_19.08.2024_100-600.lcm**	**Processed by**	**: System Administrator**
Date Processed	**: 9/23/2024 3:08:43 PM**