Supporting Information

Pd/Brønsted acid catalysed intramolecular N-allylation of indoles and pyrroles with alkynes for the synthesis of N-fused heterocycles

List of Contents

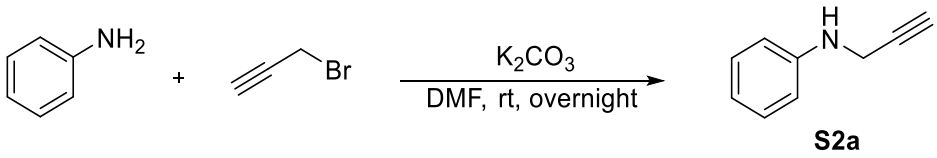
1. General Information:

In $CDCl_3$, proton (^1H) and carbon (^{13}C) spectra were recorded at 297 K using a Bruker AVANCE NEO Ascend 400 MHz FT-NMR running at 400 MHz for proton nuclei, 101 MHz for carbon nuclei and 377 MHz for fluorine nuclei. The ^1H NMR results were noted as follows: chemical shift (δ) [multiplicity, coupling constant *J* (Hz), relative integral] and multiplicity is defined as follows: m = multiplet; q = quartet; s = singlet; d = doublet; t = triplet; dd = doublet of doublets; td = triplet of doublet or combinations of the aforementioned components. Splitting patterns that cannot be depicted, were noted as multiplet (m). For ^{13}C NMR spectra, the data was mentioned as singlet peaks only with their chemical shift values. The tetramethylsilane (0 ppm) or residual $CHCl_3$ (δ 7.26) was used to reference the ^1H NMR spectra and the central peak (δ 77.0) of the $CDCl_3$ "triplet" was used as the reference signal in proton-decoupled ^{13}C NMR spectra. High-resolution mass spectral analysis (HRMS) was performed on the Xevo G2-XS QTOF Quadrupole Time of Flight Mass Spectrometer Waters instrument with an ESI ion source. X-ray crystallography was performed on a Rigaku XtaLab Supernova instrument. Except for our prepared substrates and products, all the reagents and solvents were purchased commercially and used in their received forms. Anhydrous solvents were purchased or dried through the distillation using CaH_2 and stored over oven-dried 4Å molecular sieves. Above room temperature reactions were conducted on an aluminum metal block (for substrate preparation) and in silicon oil bath (for catalytic reactions). Analytical thin-layer chromatography (TLC) was performed on 0.2 mm coated silica gel (EM 60-F254) plates supplied by Merck Germany. Visualization was accomplished with UV light (254 nm) and exposure to ethanolic phosphomolybdic acid (PMA) stain followed by heating. Flash column chromatography was carried out using commercially available silica gel (Merck) with a mesh size of 100–200 (for starting materials) and 230-400 (for catalytic reactions).

2. General procedure for the synthesis of starting materials:

a) General procedure for the synthesis of S2a- S2c:

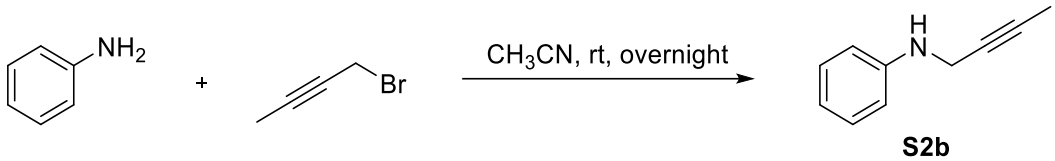
N-(prop-2-yn-1-yl)aniline (S2a): [1]



S2a

To a solution of aniline (1.6 g, 17.2 mmol, 2.05 equiv.) in DMF (20 mL), K_2CO_3 (22 g, 8.82 mmol, 1.05 equiv.) was added portion-wise and stirred for 5 minutes at rt. Then a solution of propargyl bromide (0.62 g, 80% solution in toluene) in DMF (5 mL) was added to the reaction mixture slowly and continued the stirring for overnight at rt. After the completion of the reaction as indicated by TLC, it was dissolved in ethyl acetate, and organic layer was washed twice with brine solution followed by 3 times with 1M HCl solution. The organic solvent was dried over Na_2SO_4, then evaporated under reduced pressure. The crude mixture was then purified by column chromatography on silica gel to afford the desired product **S2a** as yellow liquid (0.41 g, 60%). Eluent: Hexane/ethyl acetate = 95:5. Yellow liquid, yield 60%. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.18 – 7.12 (m, 2H), 6.75 (t, *J* = 8.0 Hz, 1H), 6.69 (d, *J* = 8.0 Hz, 2H), 3.88 (d, *J* = 4 Hz, 2H), 2.15 (t, *J* = 4.0 Hz, 1H). Spectral data of the compound matched with the reported data.

N-(but-2-yn-1-yl)aniline (S2b): [1]

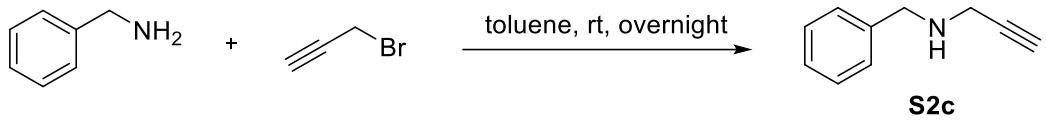


S2b

To a solution of aniline (0.465 g, 5 mmol) in acetonitrile (2.5 mL), 1-bromo-2-butyne (0.2 g, 1.5 mmol) was added and stirred for overnight at rt. After the completion of the reaction as indicated by TLC, it was dissolved in diethyl ether, and organic layer was washed twice with NH_4Cl solution followed by 3 times with 1M HCl solution. The crude mixture was then purified by column chromatography on silica gel to afford the desired product **S2b** as yellow

liquid (0.15 g, 65%). Eluent: Hexane/ethyl acetate = 90:10. Yellow liquid, yield 60%. **^{1}H NMR** (400 MHz, $CDCl_3$, ppm): δ 7.22 – 7.18 (m, 2H), 6.78 – 6.74 (m, 1H), 6.68 – 6.65 (m, 2H), 3.87 – 3.86 (d, *J* = 4.0 Hz, 2H), 3.83 (s, 1H), 1.81 – 1.80 (m, 3H). Spectral data of the compound matched with the reported data.

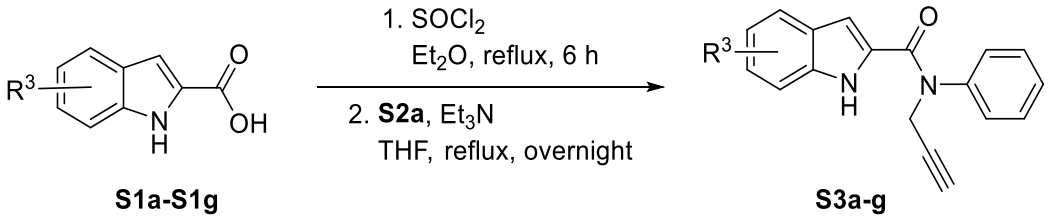
N-benzylprop-2-yn-1-amine (S2c): [2]



S2c

To a solution of benzyl amine (0.66 mL, 6 mmol) in toluene (1 mL), propargyl bromide (0.11 mL, 1 mmol) was added slowly and continued the stirring for 24 h at rt. After the completion of the reaction as indicated by TLC, the solvent was evaporated under reduced pressure. The crude mixture was then purified by column chromatography on silica gel to afford the desired product **S2c** as yellow liquid (1.6 g, 88%). Eluent: Hexane/ethyl acetate = 85:15. yellow liquid, yield 75%. **^{1}H NMR** (400 MHz, $CDCl_3$): δ: 7.30 – 7.14 (m, 5H), 3.80 (s, 2H), 3.34 (bs, 2H), 2.18 (d, *J* = 4.0 Hz, 1H), 1.54 (br s, 1H). Spectral data of the compound matched with the reported data.

b) General procedure for the synthesis of S3a-g:[3]

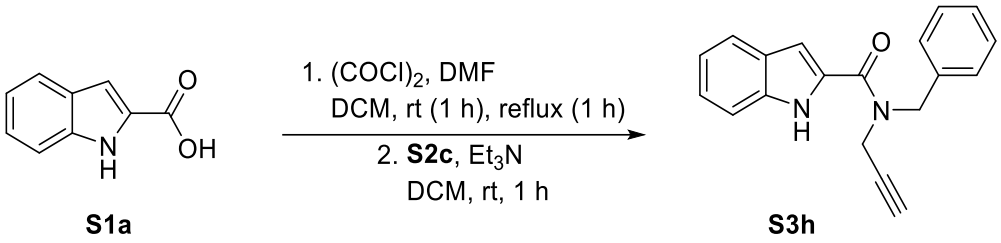


S1a-S1g **S3a-g**

A solution of corresponding indole-2-carboxylic acid (1.5 equiv.) in dry Et_2O (1.5 mL/mmol) was cooled to 0 °C. Thionyl chloride (2.13 equiv.) was added dropwise under Argon. After the completion of the addition, the mixture was warmed to rt. The mixture was refluxed for 6 h. The solvent was evaporated under reduced pressure. The residue was then dissolved in dry THF and a solution of corresponding propargyl amine (**S2a**) (1 equiv.), Et_3N (1.2 equiv.) in dry THF (1.5 mL/mmol) was added dropwise under Argon at rt. After the

addition was finished, the solution was stirred under reflux for overnight. After the reaction was complete as indicated by TLC, the solvent was evaporated, and the residue was dissolved in DCM and the organic phase was washed with 1% aqueous HCl solution and brine solution. The organic solvent was dried over Na_2SO_4, then evaporated under reduced pressure. The crude mixture was then purified by column chromatography on silica gel to afford the desired product.

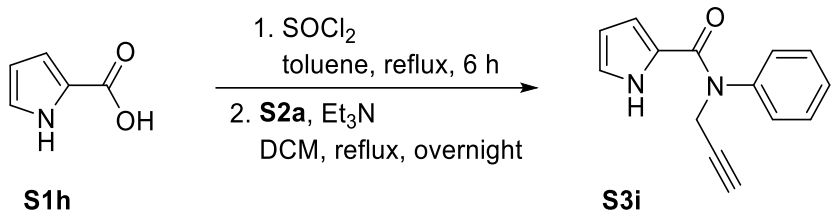
c) Procedure for the synthesis of S3h: [4]



S1a **S3h**

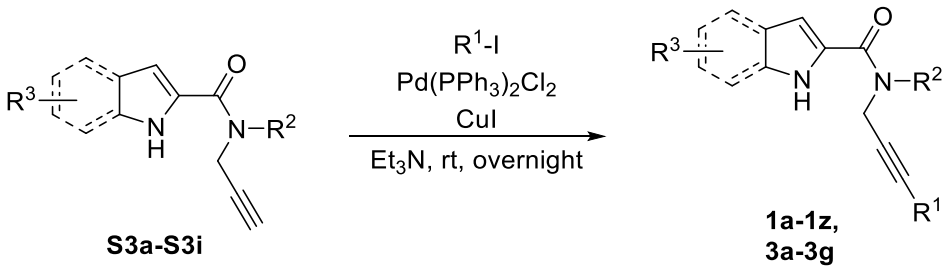
A solution of indole-2-carboxylic acid (1 equiv.) and DMF (catalytic amount) in dry DCM (6.5 mL/mmol) was stirred at rt. Oxalyl chloride (3 equiv.) was added dropwise under Argon. After the completion of the addition, the mixture was stirred at rt for 1 h and then refluxed for 1 h. The solvent was evaporated under reduced pressure. The residue was then dissolved in dry DCM (1.5 mL/mmol) and a solution of corresponding propargyl amine (**S2c**) (1 equiv.), Et_3N (1.2 equiv.) in dry DCM was added dropwise under Argon at rt. After the addition was finished, the solution was stirred at rt for one hour. After the reaction was complete as indicated by TLC, the solvent was evaporated, and the residue was dissolved in DCM and the organic phase was washed with 1% aqueous HCl solution and brine solution. The organic solvent was dried over Na_2SO_4, then evaporated under reduced pressure. The crude mixture was then purified by column chromatography on silica gel to afford the desired product **S3h**. Eluent: Hexane/ethyl acetate = 75:25. White solid, 270 mg, 59% yield. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.35 (br s, 1H), 7.63 – 7.66 (m, 1H), 7.15 – 7.45 (m, 8H), 7.09 – 7.14 (m, 1H), 5.05(s, 2H), 4.39 (s, 2H), 2.37 (1H, s). Spectral data of the compound matched with the reported data.

d) Procedure for the synthesis of S3i:[5]



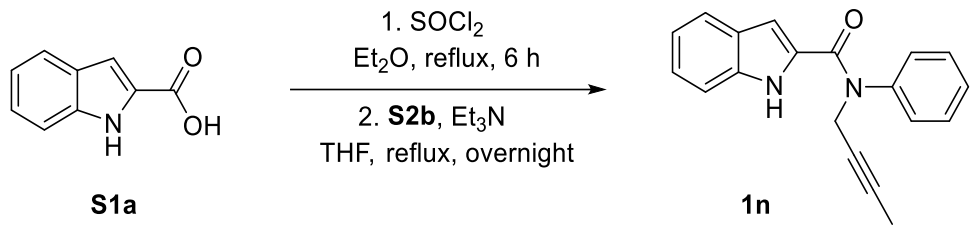
A solution of pyrrole-2-carboxylic acid (1.5 equiv.) in dry toluene (3 mL/mmol) was cooled to 0 °C. Thionyl chloride (2.13 equiv.) was added dropwise under Argon. After the completion of the addition, the mixture was warmed to rt. The mixture was refluxed for 4 h. The solvent was evaporated under reduced pressure. The residue was then dissolved in dry DCM (1.5 mL/mmol) and a solution of propargyl amine (**S2a**) (1.5 equiv.), Et_3N (1 equiv.) in dry DCM was added dropwise under Argon at rt. After the addition was finished, the solution was stirred under reflux for overnight. After the reaction was complete as indicated by TLC, the solvent was evaporated, and the residue was dissolved in DCM and the organic phase was washed with 1% aqueous HCl solution and brine solution. The organic solvent was dried over Na_2SO_4, then evaporated under reduced pressure. The crude mixture was then purified by column chromatography on silica gel to afford the desired product **S3i**.

e) General procedure for the synthesis of 1a-1z and 3a-3g (beside 1n):[1]



S3a-S3i (1.0 equiv), triethylamine (6 mL/mmol), R^1-I (1.2 equiv), and $Pd(PPh_3)_2Cl_2$ (0.01 equiv) were added under Argon atmosphere. CuI (0.01 equiv) is then added. The reaction mixture was stirred at rt for overnight. After the reaction was complete as indicated by TLC, it was dissolved in ethyl acetate, and organic layer was washed with saturated NH_4Cl solution, dried over Na_2SO_4, and evaporated under reduced pressure. The residue was further purified by column chromatography on silica gel.

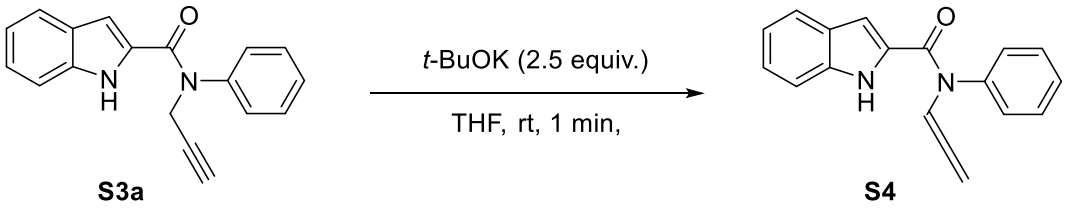
f) Procedure for the synthesis of 1n:[3]



S1a **1n**

A solution of indole-2-carboxylic acid (1.5 equiv.) in dry Et_2O (1.5 mL/mmol) was cooled to 0 °C. Thionyl chloride (2.13 equiv.) was added dropwise under Argon. After the completion of the addition, the mixture was warmed to rt. The mixture was refluxed for 6 h. The solvent was evaporated under reduced pressure. The residue was then dissolved in dry THF and a solution of **S2b** (1 equiv.), Et_3N (1.2 equiv.) in dry THF (1.5 mL/mmol) was added dropwise under Argon at rt. After the addition was finished, the solution was stirred under reflux for overnight. After the reaction was complete as indicated by TLC, the solvent was evaporated, and the residue was dissolved in DCM and the organic phase was washed with 1% aqueous HCl solution and brine solution. The organic solvent was dried over Na_2SO_4, then evaporated under reduced pressure. The crude mixture was then purified by column chromatography on silica gel to afford the desired product.

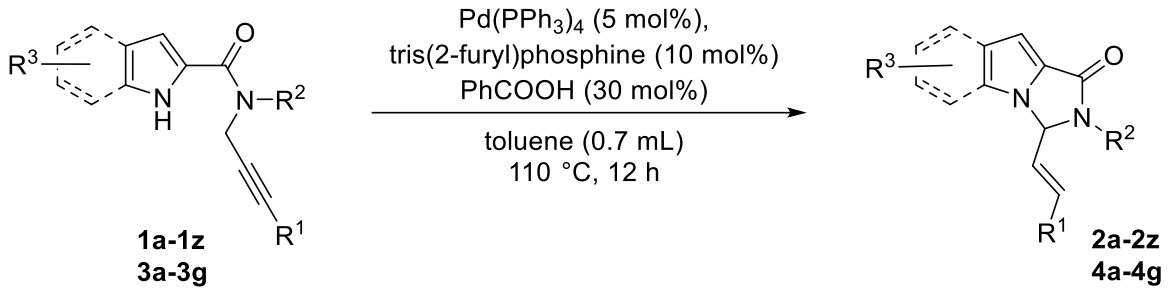
g) Procedure for the synthesis of S4:[4]



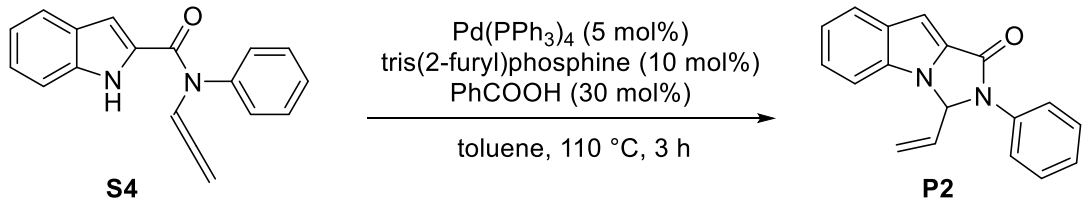
S3a **S4**

To a solution of **1a** (55 mg, 0.2 mmol, 1 equiv.) in THF (2 mL), t-BuOK (57mg, 0.5 mmol, 2.5 equiv.) was added and the reaction was stirred at rt for 1 minute. After the reaction was complete as indicated by TLC, the mixture was filtered on short plug of silica gel with ethyl acetate. The solvent was evaporated under reduced pressure and was subjected to column chromatography on silica gel to afford the desired product.

3. General procedure for the synthesis of 2a-2z, 4a-4g, P1 and P2:



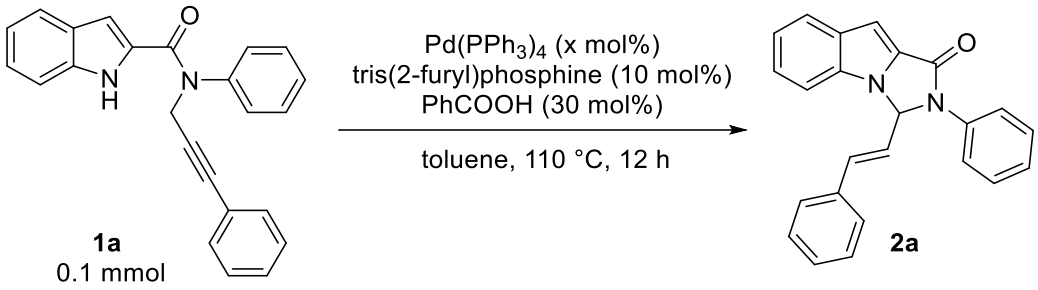
To a flame dried schlenk tube equipped with magnetic stirbar and sealed with septum, was charged with corresponding substrate (**1a**-**1z**, **3**a-**3g**) (0.1 mmol), $Pd(PPh_3)_4$ (0.005 mmol, 5 mol%), tris(2-furyl) phosphine (10 mol%. 0.01 mmol) and benzoic acid (0.03 mmol, 30 mol%) under Argon atmosphere. Then 0.7 mL dry toluene was added via syringe. The reaction was heated to 110 °C and stirred at same temperature for 12 h. After the completion of reaction as indicated by TLC, the mixture was diluted with ethyl acetate and filtered through a celite pad. The solvent was evaporated under reduced pressure. Resulting residue was then purified by column chromatography on silica gel to afford the desired product.



To a flame dried schlenk tube equipped with magnetic stirbar and sealed with septum, was charged with allene substrate (**S4**, 0.1 mmol), $Pd(PPh_3)_4$ (0.005 mmol, 5 mol%), tris(2-furyl) phosphine (10 mol%. 0.01 mmol) and benzoic acid (0.03 mmol, 30 mol%) under Argon atmosphere. Then 0.7 mL dry toluene was added via syringe. The reaction was heated to 110 °C and stirred at same temperature for 3 h. After the completion of reaction as indicated by TLC, the mixture was diluted with ethyl acetate and filtered through a celite pad. The solvent was evaporated under reduced pressure. Resulting residue was then purified by column chromatography on silica gel to afford the desired product.

4. Optimization of the reaction conditions for the synthesis of 2a:

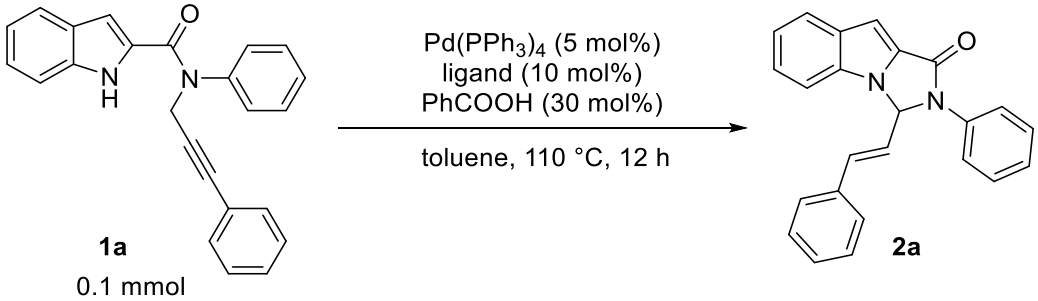
Table S1: Optimization of catalyst loading:[a]



Entry	$Pd(PPh_3)_4$	Yield (%)
1	2.5 mol%	35
2	5 mol%	**82**
3	7.5 mol%	65

[a]Isolated yields

Table S2: Additional phosphine screening:[a]



Entry	Ligand	Yield 2a (%)[b]
1	-	56
2	Triphenylphosphine	56
3	Tris(o-tolyl)phosphine	70
4	Tris(p-tolyl)phosphine	53
5	DPEPhos	18
6	John phos	32
7	Tricyclohexylphosphine	33.6

8	Tris(4-fluorophenyl)phosphine	23.2
9	Tris(perfluorophenyl)phosphine	15
10	Tris(4-(trifluoromethyl)phenyl)phosphine	<5
11	SPhos	30.8
12	Tri-n-butylphosphine	53
13	Tris(2,4,6-trimethylphenyl)phosphine	57
14	Tris(2-methoxy)phenylphosphihne	62
15	Tris(4-methoxy)phenylphosphihne	44
16	Tris(2-furyl)phosphine	**82**

[a]Isolated yields

Table S3: Structures of the ligands used during optimization study:

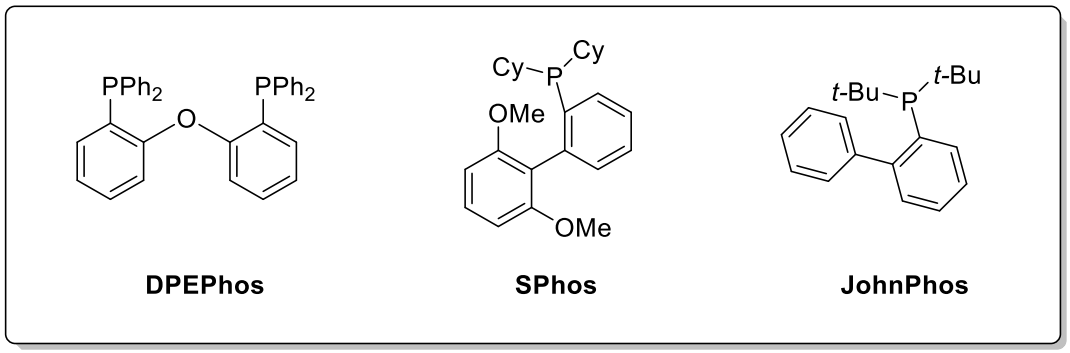
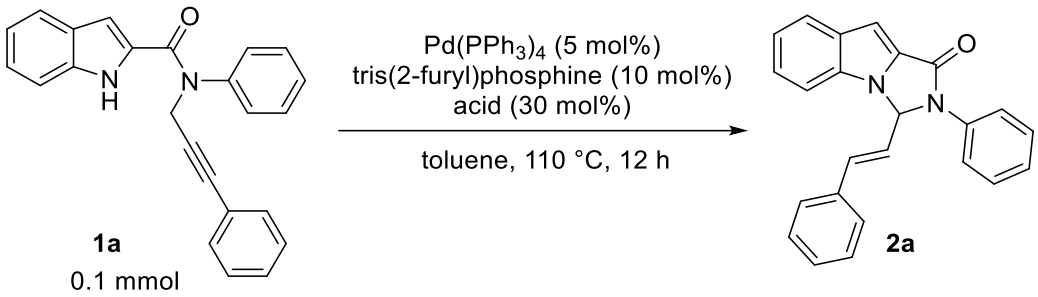


Table S4: Additional acid screening:[a]

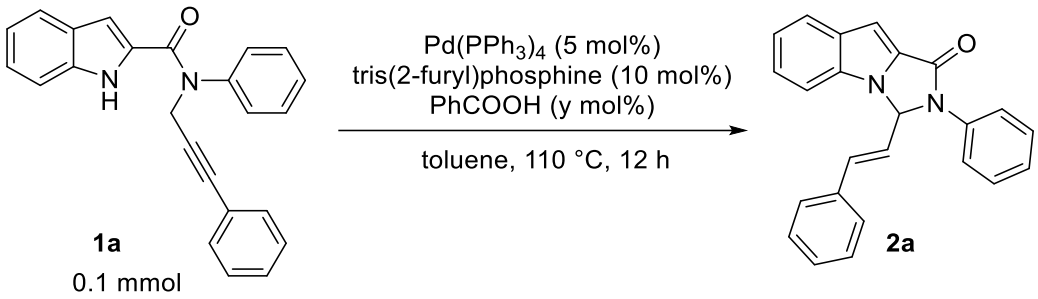


Entry	Acid	Yield (%)
1	benzoic acid	**82**
2	*p*-nitro benzoic acid	24

3	*o*-methyl benzoic acid	51
4	*p*-fluoro benzoic acid	60
5	*m*-chloro benzoic acid	<5
6	acetic acid	<5
7	pivalic acid	17
8	trifluoro acetic acid	<5
9	1-adamantecarboxylic acid	28
10	*p*-toluenesulfonic acid	Nil

[a]Isolated yields

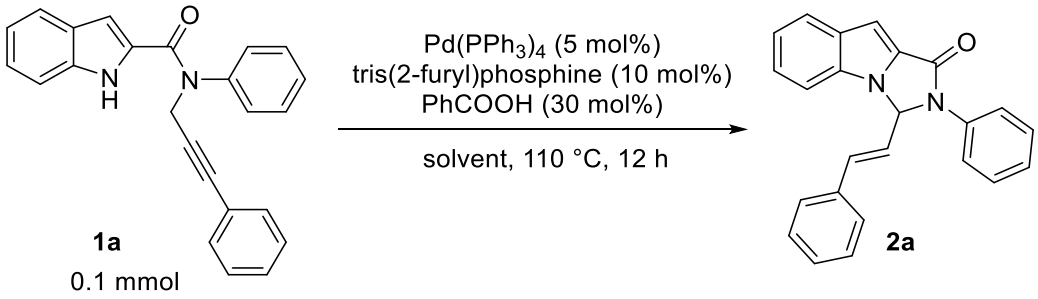
Table S5: Optimization of acid amount:[a]



Entry	PhCOOH	Yield (%)
1	10 mol%	74
2	20 mol%	47
3	30 mol%	**82**
4	40 mol%	53

[a]Isolated yields

Table S6: Optimization of solvent:[a]

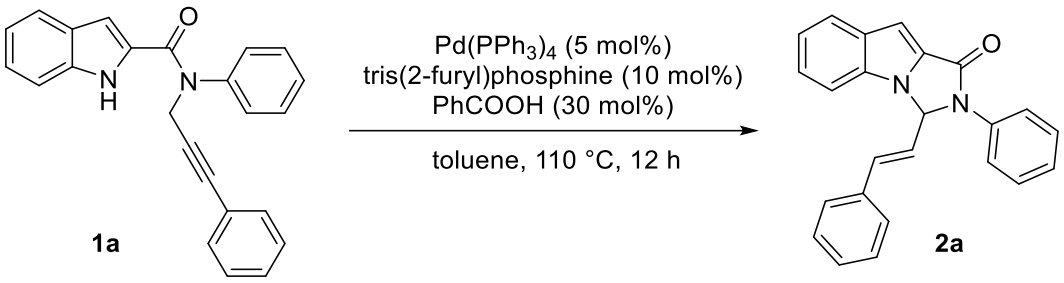


Entry	Solvent (0.7mL)	Yield (%)
1	toluene	**82**
2	1, 4-dioxane	46
3	dimethoxy ethane	37
4	xylene	28
5	DCE	16
6	DMF	Nil
7	THF	Nil

[a]Isolated yields

5. Scale up reaction and synthetic transformation:

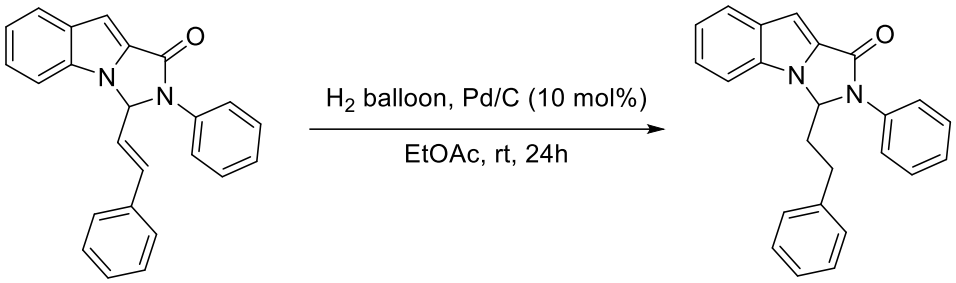
A. 1 mmol scale reaction:



A flame dried schlenk tube equipped with magnetic stir bar and sealed with septum, was charged with **1a** (1 mmol, 350.5 mg), $Pd(PPh_3)_4$ (0.05 mmol, 58 mg), tris(2-furyl) phosphine (0.1 mmol, 23.5 mg) and benzoic acid (0.3 mmol, 37 mg) under Argon atmosphere. Then 7 mL toluene was added via syringe. The reaction was heated to 110 °C and stirred at same temperature for 12 h. After the completion of reaction as indicated by TLC, the mixture was diluted with ethyl acetate and filtered through a celite pad. The solvent was evaporated under reduced pressure. Resulting residue was then purified by column chromatography on silica gel (Hexane/ethyl acetate = 92:8) and obtained **2a** as white coloured solid (281 mg, 80.2% yield).

B. Synthetic transformation of product 2a:[6,7,8]

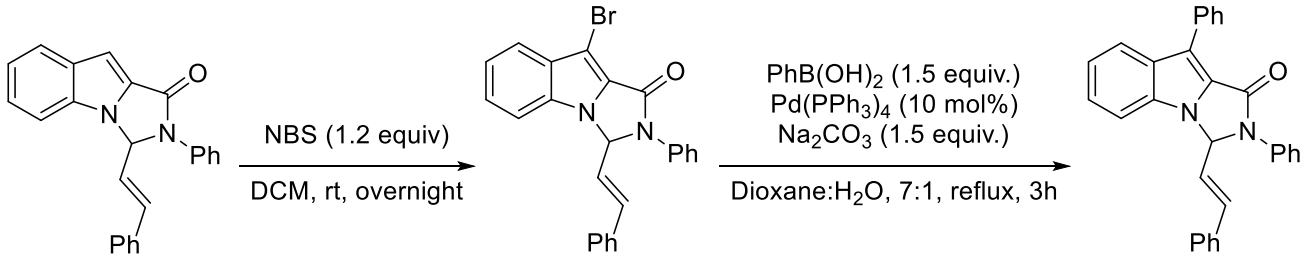
I)



2a **5**
94% yield

A flame dried schlenk tube equipped with magnetic stir bar and sealed with septum, was charged with **2a** (0.1 mmol, 35.5 mg), Pd/C (0.01 mmol, 10% Pd, 30 mg) and 0.5 mL ethyl acetate, and the mixture was stirred at room temperature under hydrogen atmosphere (using a H_2 balloon) for 24 h. The reaction was monitored by TLC. After the completion of reaction, the mixture was passed through a celite pad and washed with ethyl acetate. After filtration and evaporation in vacuo, the residue was purified by flash chromatography on silica gel (Hexane/ethyl acetate = 90:10) to afford product **5** (33.0 mg, 94% yield) as white solid.

II)



2a **6** **7**
92% yield 54% yield

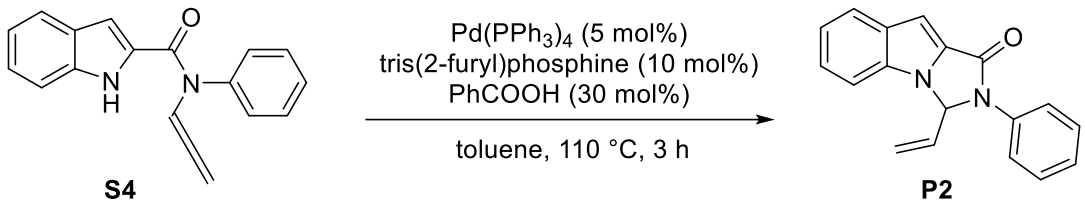
A flame dried schlenk tube equipped with magnetic stir bar and sealed with septum, was charged with **2a** (0.1 mmol, 35.5 mg), NBS (0.12 mmol, 22 mg) and 0.4 mL DCM, and the mixture was stirred at room temperature for overnight. The reaction was monitored by TLC. After the completion of reaction, the solvent was evaporated and the residue was dissolved in ethyl acetate and the organic phase was washed with water 3 times. The organic part was dried over Na_2SO_4, then evaporated under reduced pressure. The crude mixture was then purified by column chromatography on silica gel to afford the desired product. (Hexane/ethyl acetate = 92:8) to afford product **6** (39.0 mg, 92% yield) as white solid.

A flame dried schlenk tube equipped with magnetic stir bar and sealed with septum, was charged with **6** (0.075 mmol, 32 mg), phenyl boronic acid (1.5 equiv, 30 mg), $Pd(PPh_3)_4$ (10 mol%, 8.6 mg) and Sodium carbonate (1.5 equiv., 12 mg). Then dioxane:H_2O (7:1, 3.5 mL) were added via syringe. The reaction was heated to 100 °C and stirred at same temperature for 3 h. After the completion of reaction as indicated by TLC, the solvent was evaporated. The residue was dissolved in ethyl acetate and washed with water 3 times. The organic part was dried over Na_2SO_4, then evaporated under reduced pressure. The crude mixture was then purified by column chromatography on silica gel to afford the desired product. (Hexane/ethyl acetate = 92:8) to afford product **7** (17 mg, 54% yield) as white solid.

6. Mechanistic study:

I) Control experiment

Substrate **S4** was reacted under the standard reaction conditions. The N-allylated product **P2** was isolated in 82% yield. This indicates that allene could be the possible intermediate in our reaction.

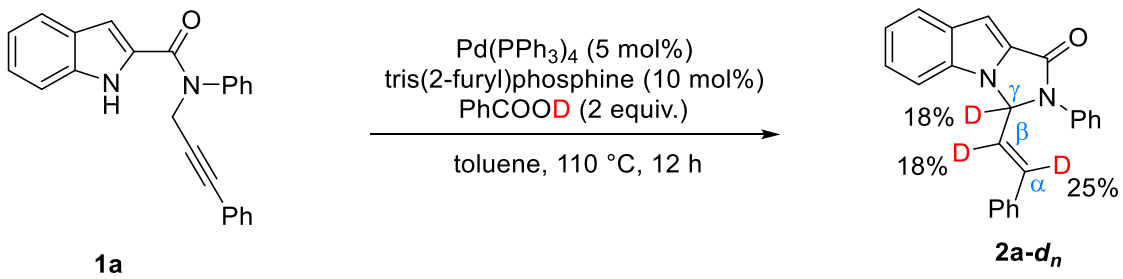


S4 **P2**

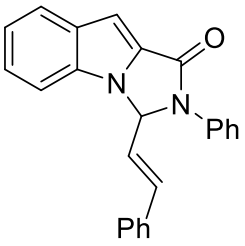
82% yield

II) Deuterium labelling experiment

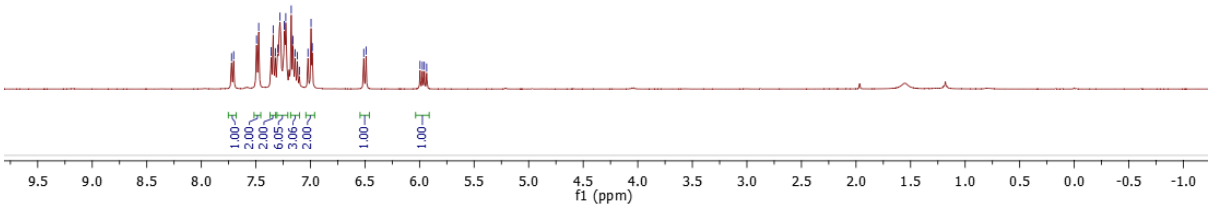
To a flame dried schlenk tube equipped with magnetic stirbar and sealed with septum, was charged with **1a** (0.1 mmol), $Pd(PPh_3)_4$ (0.005 mmol, 5 mol%), tris(2-furyl) phosphine (10 mol%. 0.01 mmol) and PhCOOD (0.2 mmol, 2 equiv) under Argon atmosphere. Then 0.7 mL dry toluene was added via syringe. The reaction was heated to 110 °C and stirred at same temperature for 12 h. After the completion of reaction as indicated by TLC, the mixture was diluted with ethyl acetate and filtered through a celite pad. The solvent was evaporated under reduced pressure. Resulting residue was then purified by column chromatography on silica gel to afford the desired product **2a-*d*$_n$** with 62% yield. The incorporation of deuterium was observed in 25%, 18% and 18% ratios respectively at α, β and γ positions of **2a-*d*$_n$**.

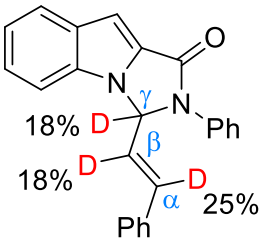


1a **2a-*d*$_n$**

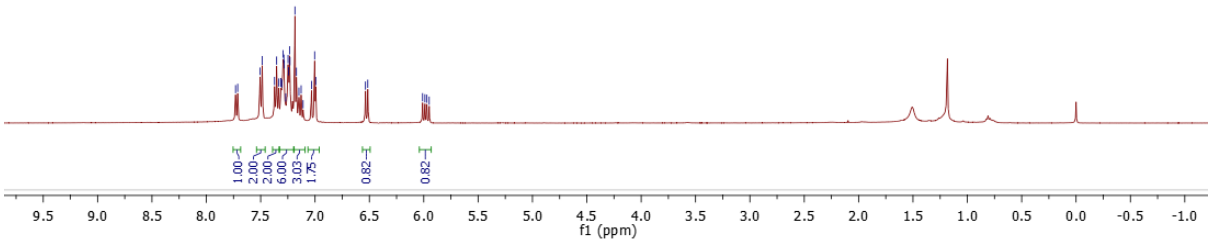


2a



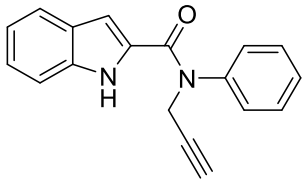


2a-*d*$_n$



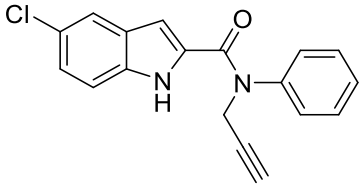
7. Analytical data of S3a-S3i:

N-phenyl-N-(prop-2-yn-1-yl)-1H-indole-2-carboxamide (S3a)



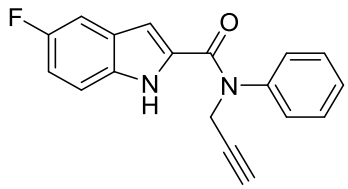
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 250 mg, 74% yield, MP: 187-188 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.42 (s, 1H), 7.49 – 7.41 (m, 3H), 7.39 – 7.33 (m, 3H), 7.32 – 7.25 (m, 1H), 7.14 (d, *J* = 7.6 Hz, 1H), 6.94 (d, *J* = 7.6 Hz, 1H), 5.21 (s, 1H), 4.61 (d, *J* = 2.4 Hz, 2H), 2.21 (t, *J* = 2.4 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.7, 141.9, 135.5, 129.9, 129.2, 129.0, 127.6, 124.8, 122.3, 120.3, 111.7, 107.7, 78.8, 77.4, 77.3, 77.1, 76.7, 72.5, 40.2. **ESI-HRMS (m/z):** calculated for $C_{18}H_{15}N_2O$ $[M+H]^+$ 275.1184, found 275.1199.

5-chloro-N-phenyl-N-(prop-2-yn-1-yl)-1H-indole-2-carboxamide (S3b)



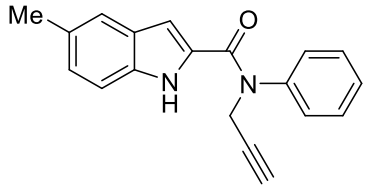
Eluent: Hexane/ethyl acetate = 84:16. Yellow solid, 220 mg, 64% yield, MP: 193-195 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 10.19 (s, 1H), 7.46 – 7.41 (m, 3H), 7.36 – 7.30 (m, 3H), 7.24 (d, *J* = 1.6 Hz, 2H), 7.09 (dd, *J* = 8.4, 1.6 Hz, 1H), 5.12 (s, 1H), 4.63 (d, *J* = 2.0 Hz, 2H), 2.22 (t, *J* = 2.0 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 141.7, 134.1, 130.2, 130.0, 129.3, 128.9, 128.4, 125.8, 125.2, 121.4, 113.1, 107.1, 78.7, 72.7, 40.4. **ESI-HRMS (m/z):** calculated for $C_{18}H_{14}N_2OCl$ $[M+H]^+$ 309.0795, found 309.0772.

5-fluoro-N-phenyl-N-(prop-2-yn-1-yl)-1H-indole-2-carboxamide (S3c)



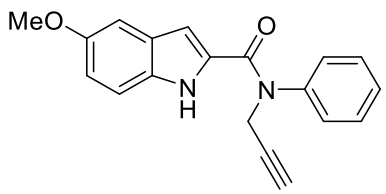
Eluent: Hexane/ethyl acetate = 84:16. Yellow solid, 290 mg, 78% yield, MP: 187-190 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.59 (s, 1H), 7.49 – 7.43 (m, 3H), 7.38 – 7.32 (m, 2H), 7.30 – 7.23 (m, 1H), 6.95 – 6.87 (m, 2H), 5.15 (s, 1H), 4.62 (d, *J* = 2.8 Hz, 2H), 2.22 (t, *J* = 2.8 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.4, 156.7 (d, *J* = 236.3 Hz), 141.7, 132.2, 130.4, 130.0, 129.3, 128.9, 127.6 (d, *J* = 11.1 Hz), 113.7 (d, *J* = 27.3 Hz), 112.6 (d, *J* = 9.1 Hz), 107.4 (d, *J* = 6.1 Hz), 106.3 (d, *J* = 23.2 Hz), 78.6, 72.6, 40.3. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -123.50. **ESI-HRMS (m/z):** calculated for $C_{18}H_{14}N_2OF$ $[M+H]^+$ 293.1090, found 293.1080.

5-methyl-N-phenyl-N-(prop-2-yn-1-yl)-1H-indole-2-carboxamide (S3d)



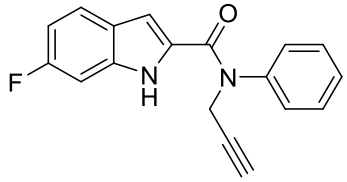
Eluent: Hexane/ethyl acetate = 84:16. Yellow solid, 200 mg, 66% yield, MP: 182-183 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.86 (s, 1H), 7.45 – 7.36 (m, 3H), 7.35 – 7.29 (m, 2H), 7.24 (d, *J* = 8.0 Hz, 1H), 7.03 (s, 1H), 6.95 (d, *J* = 8.0 Hz, 1H), 5.13 (s, 1H), 4.61 (d, *J* = 2.4 Hz, 1H), 2.27 – 2.17 (m, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 162.0, 142.0, 134.2, 129.9, 129.5, 129.1, 129.0, 127.8, 126.7, 121.5, 111.6, 107.4, 78.9, 72.6, 40.3, 21.7. **ESI-HRMS (m/z):** calculated for $C_{19}H_{17}N_2O$ $[M+H]^+$ 289.1341, found 289.1348.

5-methoxy-N-phenyl-N-(prop-2-yn-1-yl)-1H-indole-2-carboxamide (S3e)



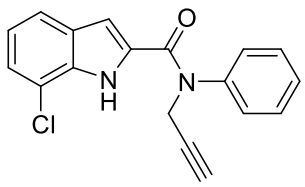
Eluent: Hexane/ethyl acetate = 80:20. Yellow solid, 220 mg, 70% yield, MP: 184-186 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.44 (s, 1H), 7.50 – 7.41 (m, 3H), 7.38 – 7.31 (m, 2H), 7.21 (d, *J* = 8.8 Hz, 1H), 6.83 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.67 (d, *J* = 1.6 Hz, 1H), 5.13 (s, 1H), 4.61 (d, *J* = 2.0 Hz, 2H), 3.67 (s, 3H), 2.21 (t, *J* = 2.0 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 154.4, 141.9, 131.0, 129.9, 129.3, 129.1, 129.0, 127.8, 116.5, 112.6, 107.3, 102.3, 78.8, 72.5, 55.6, 40.2. **ESI-HRMS (m/z):** calculated for $C_{19}H_{17}N_2O_2$ $[M+H]^+$ 305.1290, found 305.1280.

6-fluoro-N-phenyl-N-(prop-2-yn-1-yl)-1H-indole-2-carboxamide (S3f)



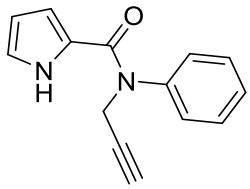
Eluent: Hexane/ethyl acetate= 84:16. Yellow solid, 164 mg, 64% yield, MP: 180-181 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.18 (s, 1H), 7.47 – 7.41 (m, 3H), 7.38 – 7.33 (m, 2H), 7.21 – 7.15 (m, 1H), 7.08 (d, *J* = 9.0 Hz, 1H), 6.69 (t, *J* = 9.0 Hz, 1H), 5.17 (s, 1H), 4.64 (s, 2H), 2.24 (s, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.2 (d, *J* = 242.4 Hz), 141.9, 135.8 (d, *J* = 13.1 Hz), 130.0, 129.2, 128.9, 124.2, 123.3 (d, *J* = 10.1 Hz), 109.7 (d, *J* = 25.3 Hz), 107.9, 97.6 (d, *J* = 26.3 Hz), 78.8, 72.8, 40.4. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -116.79. **ESI-HRMS (m/z):** calculated for $C_{18}H_{14}FN_2O$ $[M+H]^+$ 293.1090, found 293.1028.

7-chloro-N-phenyl-N-(prop-2-yn-1-yl)-1H-indole-2-carboxamide (S3g)



Eluent: Hexane/ethyl acetate = 96:4. Yellow solid, 210 mg, 60% yield, MP: 184-187 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.51 (s, 1H), 7.60 – 7.52 (m, 4H), 7.46 – 7.41 (m, 2H), 7.23 (d, *J* = 8.5 Hz, 1H), 7.14 (s, 1H), 5.24 (s, 1H), 4.70 (d, *J* = 2.0 Hz, 2H), 2.33 (t, *J* = 2.0 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.3, 141. 7, 136.1, 130.0, 129.3, 128.9, 126.4, 123. 9, 123.6, 118.6, 114.5, 107.6, 78.6, 72. 7, 40.3. **ESI-HRMS (m/z):** calculated for $C_{18}H_{14}ClN_2O$ $[M+H]^+$ 309.0795, found 309.0765.

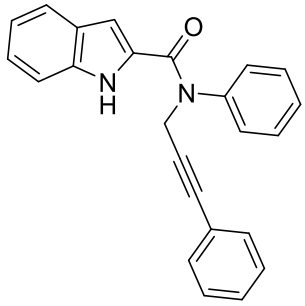
N-phenyl-N-(3-phenylprop-2-yn-1-yl)-1H-pyrrole-2-carboxamide (S3i)



Eluent: Hexane/ethyl acetate = 80:20. White solid, 250 mg, 74% yield, MP: 113-115 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.51 (s, 1H), 7.44 – 7.37 (m, 3H), 7.34 – 7.28 (m, 2H), 6.79 – 6.75 (m, 1H), 5.87 – 5.81 (m, 1H), 4.86 (s, 1H), 4.54 (d, *J* = 2.5 Hz, 2H), 2.18 (t, *J* = 2.4 Hz, 1H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 142.1, 129.8, 129.1, 128.9, 124.6, 121.3, 114.3, 109.9, 79.1, 72.2, 39.0. **ESI-HRMS (m/z):** calculated for $C_{14}H_{13}N_2O$ $[M+H]^+$ 225.1028, found 225.1039.

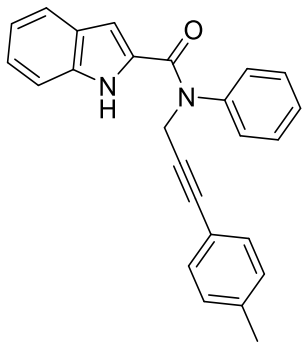
7. Analytical data of 1a-1z, 3a-3g and S4:

N-phenyl-N-(3-phenylprop-2-yn-1-yl)-1H-indole-2-carboxamide (1a)



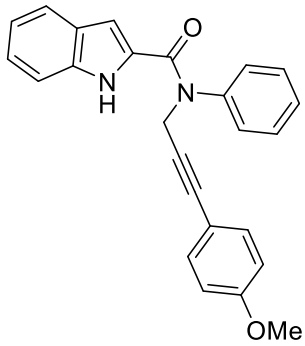
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 108 mg, 84% yield, MP: 173-175 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.36 (s, 1H), 7.48 – 7.43 (m, 3H), 7.42 – 7.37 (m, 2H), 7.33 – 7.26 (m, 4H), 7.23 – 7.19 (m, 3H), 7.14 (t, *J* = 8.0 Hz, 1H), 6.93 (t, *J* = 8.0 Hz, 1H), 5.22 (s, 1H), 4.85 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.5, 140.8, 134.4, 130.7, 128.8, 128.2, 128.1, 127.3, 127.2, 126.6, 123.7, 121.7, 121.3, 119.3, 110.6, 106.6, 83.6, 83.1, 39.8. **ESI-HRMS (m/z):** calculated for $C_{24}H_{19}N_2O$ $[M+H]^+$ 351.1497, found 351.1485.

N-phenyl-N-(3-(*p*-tolyl) prop-2-yn-1-yl)-1H-indole-2-carboxamide (1b)



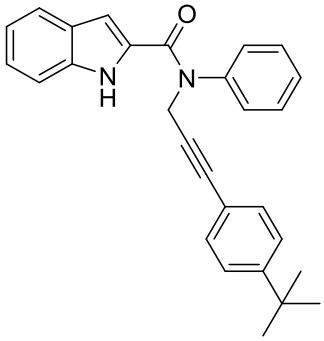
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 98 mg, 82% yield, MP: 191-194 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.53 (s, 1H), 7.48 – 7.42 (m, 3H), 7.42 – 7.36 (m, 2H), 7.33 (d, *J* = 7.8 Hz, 1H), 7.28 (d, *J* = 7.8 Hz, 1H), 7.20 (s, 1H), 7.13 (t, *J* = 8.0 Hz, 1H), 7.00 (d, *J* = 8.0 Hz, 2H), 6.92 (t, *J* = 8.2 Hz, 1H), 5.22 (s, 1H), 4.85 (s, 2H), 2.25 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 141.9, 138.5, 135.5, 131.6, 129.8, 129.2, 129.2, 129.1, 129.0, 127.6, 124.7, 122.3, 120.3, 119.7, 111.7, 107.6, 84.7, 83.4, 40.9, 21.5. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O$ $[M+H]^+$ 365.1654, found 365.1672.

N-(3-(4-methoxyphenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1c)



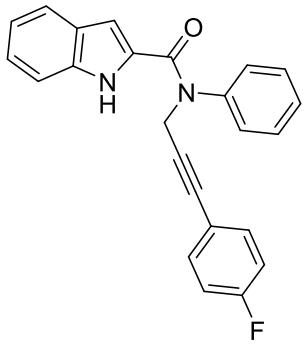
Eluent: Hexane/ethyl acetate = 80:20. Yellow solid, 95 mg, 83% yield, MP: 203-207 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.51 (s, 1H), 7.47 – 7.43 (m, 3H), 7.41 – 7.36 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.28 (d, *J* = 8.0 Hz, 1H), 7.25 – 7.23 (m, 1H), 7.23 – 7.21 (m, 1H), 7.16 – 7.10 (m, 1H), 6.97 – 6.89 (m, 1H), 6.78 – 6.70 (m, 2H), 5.22 (s, 1H), 4.84 (s, 2H), 3.71 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 159.6, 141.9, 135.5, 133.0, 129.8, 129.2, 129.2, 129.1, 127.6, 124.7, 122.3, 120.3, 114.8, 113.9, 111.6, 107.6, 84.5, 82.7, 77.4, 77.2, 77.0, 76.7, 55.3, 40.9. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O_2$ $[M+H]^+$ 381.1603, found 381.1616.

N-(3-(4-(tert-butyl)phenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1d)



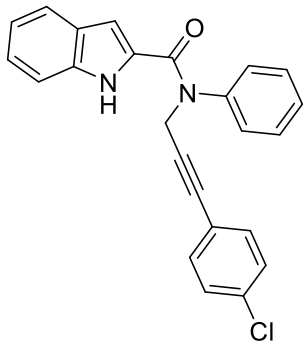
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 100 mg, 80% yield, MP: 190-193 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.53 (s, 1H), 7.48 – 7.42 (m, 3H), 7.42 – 7.36 (m, 2H), 7.33 (d, *J* = 7.7 Hz, 1H), 7.28 (d, *J* = 8.0 Hz, 1H), 7.20 (s, 1H), 7.13 (t, *J* = 8.0 Hz, 1H), 7.00 (d, *J* = 7.6 Hz, 2H), 6.92 (t, *J* = 7.6 Hz, 1H), 5.22 (s, 1H), 4.85 (s, 2H), 2.25 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 141.9, 138.5, 135.5, 131.6, 129.8, 129.2, 129.2, 129.1, 129.0, 127.6, 124.7, 122.3, 120.3, 119.7, 111.7, 107.6, 84.7, 83.4, 40.8, 21.5. **ESI-HRMS (m/z):** calculated for $C_{28}H_{27}N_2O$ $[M+H]^+$ 407.2123, found 407.2105.

N-(3-(4-fluorophenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1e)



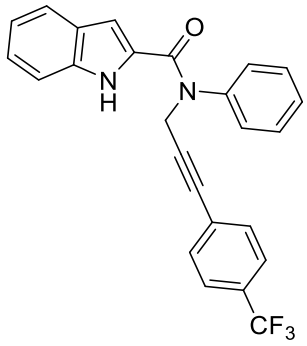
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 95 mg, 78% yield, MP: 204-207 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.43 (s, 1H), 7.48 – 7.43 (m, 3H), 7.41 – 7.36 (m, 2H), 7.32 (d, *J* = 7.6 Hz, 1H), 7.3 – 7.28 (m, 1H), 7.28 – 7.24 (m, 2H), 7.17 – 7.11 (m, 1H), 6.96 – 6.86 (m, 3H), 5.22 (s, 1H), 4.84 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 161.3 (d, *J* = 250.5 Hz), 141.9, 135.4, 133.6 (d, *J* = 9.1 Hz), 129.9, 129.1, 127.6, 124.8, 122.3, 120.3, 118.8 (d, *J* = 4.0 Hz), 115.4 (d, *J* = 22.2 Hz), 111.6, 107.7, 83.9, 83.5, 40.8. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -110.83. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}FN_2O$ $[M+H]^+$ 369.1403, found 369.1417.

N-(3-(4-chlorophenyl) prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1f)



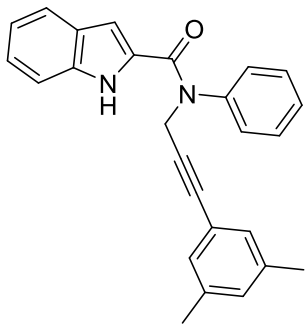
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 92 mg, 77% yield, MP: 192-193 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.32 (s, 1H), 7.51 – 7.43 (m, 3H), 7.42 – 7.35 (m, 2H), 7.33 – 7.26 (m, 2H), 7.23 – 7.12 (m, 5H), 6.94 (t, *J* = 7.5 Hz, 1H), 5.21 (s, 1H), 4.83 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 141.8, 135.4, 134.4, 132.9, 129.9, 129.3, 129.2, 129.1, 129.0, 128.6, 127.6, 125.5, 124.8, 123.3, 122.3, 121.2, 120.3, 117.9, 116.9, 111.6, 107.7, 85.2, 83.4, 40.8. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}ClN_2O$ $[M+H]^+$ 385.1108, found 385.1147.

N-phenyl-N-(3-(4-(trifluoromethyl)phenyl)prop-2-yn-1-yl)-1H-indole-2carboxamide (1g)



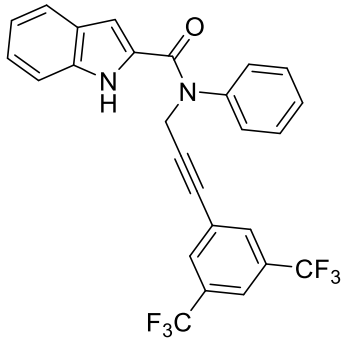
Eluent: Hexane/ethyl acetate = 90:10. White solid, 96 mg, 79% yield, MP: 210-211 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.46 (s, 1H), 7.5 – 7.43 (m, 5H), 7.42 – 7.36 (m, 4H), 7.34 (d, *J* = 8.0 Hz, 1H), 7.29 (d, *J* = 8.0 Hz, 1H), 7.14 (t, *J* = 7.5 Hz, 1H), 6.94 (t, *J* = 7.5 Hz, 1H), 5.23 (s, 1H), 4.87 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 141.8, 135.5, 132.0, 129.9, 129.2, 129.1, 128.9, 127.6, 126.5 (d, *J* = 1.1 Hz), 125.2 (d, *J* = 7.1 Hz), 124.9, 123.4 (q, *J* = 213.0 Hz), 122.3, 120.4, 111.4, 107.8, 86.8, 83.2, 40.8. **^{19}F NMR** (377 MHz $CDCl_3$): δ -57.81. **ESI-HRMS (m/z):** calculated for $C_{25}H_{18}F_3N_2O$ $[M+H]^+$ 419.1371, found 419.1382.

N-(3-(3,5-dimethylphenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1h)



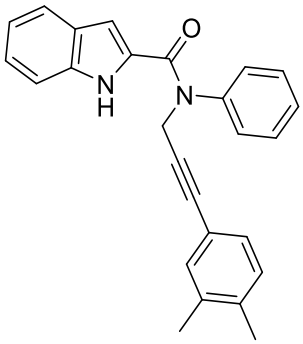
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 76 mg, 77% yield, MP: 183-185 °C; **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.39 (s, 1H), 7.48 – 7.42 (m, 3H), 7.41 – 7.36 (m, 2H), 7.32 – 7.27 (m, 2H), 7.14 (t, *J* = 7.6 Hz, 1H), 6.97 – 6.90 (m, 3H), 6.85 (s, 1H), 5.21 (s, 1H), 4.83 (s, 2H), 2.18 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 141.9, 137.8, 135.5, 130.3, 129.8, 129.4, 129.2, 129.1, 127.6, 124.7, 122.3, 122.3, 120.3, 111.6, 107.6, 84.9, 83.4, 40.9, 22.7, 21.1. **ESI-HRMS (m/z):** calculated for $C_{26}H_{23}N_2O$ $[M+H]^+$ 379.1810, found 379.1816.

N-(3-(3,5-bis(trifluoromethyl)phenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2 carboxamide (1i)



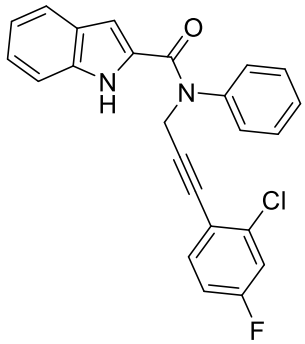
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 88 mg, 78% yield, MP: 207-209 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.37 (s, 1H), 7.69 (s, 3H), 7.55 – 7.45 (m, 3H), 7.41 – 7.35 (m, 2H), 7.33 – 7.25 (m, 2H), 7.15 (t, *J* = 7.7 Hz, 1H), 6.94 (t, *J* = 7.6 Hz, 1H), 5.24 (s, 1H), 4.86 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.7, 141.8, 135.5, 132.1, 131.7 (d, *J* = 3.0 Hz), 130.1, 129.3, 129.0, 128.8, 127.6, 124.9 (q, *J* = 6.1, 2.0 Hz), 124.2, 123.4 (q, *J* = 214.0 Hz), 122.4, 121.8 (d, *J* = 8.1 Hz), 120.4, 111.6, 107.9, 88.1, 40.8. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -63.16. **ESI-HRMS (m/z):** calculated for $C_{26}H_{17}F_6N_2O$ $[M+H]^+$ 487.1245, found 487.1248.

N-(3-(3,4-dimethylphenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1j)



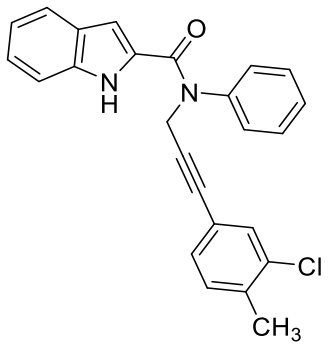
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 77 mg, 78% yield, MP: 194-197 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.46 (s, 1H), 7.47 – 7.36 (m, 5H), 7.33 (d, *J* = 7.6 Hz, 1H), 7.29 (d, *J* = 8.1 Hz, 1H) 7.13 (t, *J* = 7.6 Hz, 1H), 7.08 (s, 1H), 7.04 (d, *J* = 8.1 Hz, 1H), 6.89 – 6.99 (m, 2H), 5.21 (s, 1H), 4.84 (s, 2H), 2.16 (s, 3H), 2.13 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.5, 140.9, 136.2, 135.5, 134.4, 131.8, 128.8, 128.5, 128.3, 128.2, 128.1, 128.0, 126.6, 124.5, 123.7, 122.3, 121.3, 119.2, 118.9, 110.6, 106.5, 83.8, 82.1, 39.8, 21.7, 18.7, 18.5. **ESI-HRMS (m/z):** calculated for $C_{26}H_{23}N_2O$ $[M+H]^+$ 379.1810, found 379.1796.

N-(3-(2-chloro-4-fluorophenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1k)



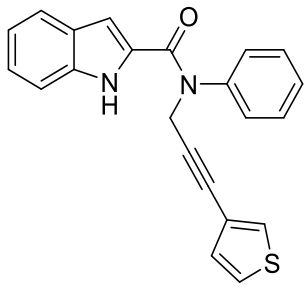
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 75 mg, 76% yield, MP: 175-178 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.41 (s, 1H), 7.50 – 7.39 (m, 5H), 7.35 – 7.25 (m, 3H), 7.14 (t, *J* = 7.5 Hz, 1H), 7.04 (dd, *J* = 8.4, 2.5 Hz, 1H), 6.93 (t, *J* = 7.4 Hz, 1H), 6.83 (td, *J* = 8.4, 2.5 Hz, 1H), 5.23 (s, 1H), 4.89 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 160.8 (d, *J* = 253.5 Hz), 141.8, 137.1 (d, *J* = 10.1 Hz), 135.5, 134.6 (d, *J* = 9.1 Hz), 129.9, 129.2, 129.0, 127.6, 124.8, 122.3, 120.3, 119.0 (d, *J* = 4.0 Hz), 116.8 (d, *J* = 25.5 Hz), 114.0 (d, *J* = 21.2 Hz), 111.6, 107.7, 89.3, 80.3, 40.9. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -108.88. **ESI-HRMS (m/z):** calculated for $C_{24}H_{17}ClFN_2O$ $[M+H]^+$ 403.1013, found 403.1027.

N-(3-(3-chloro-4-methylphenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1l)



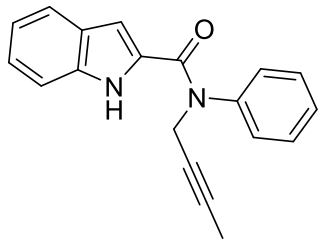
Eluent: Hexane/ethyl acetate = 90:10. Yellow solid, 78 mg, 74% yield, MP: 185-187 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.44 (d, *J* = 21.4 Hz, 1H), 7.49 – 7.42 (m, 3H), 7.41 – 7.35 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.3 – 7.25 (m, 2H), 7.14 (t, *J* = 7.6 Hz, 1H), 7.09 (q, *J* = 8.0 Hz, 2H), 6.93 (t, *J* =7.6 Hz, 1H), 5.22 (s, 1H), 4.84 (s, 2H), 2.27 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.55, 140.81, 135.6, 134.4, 133.1, 131.0, 129.7, 128.9, 128.1, 128.1, 128.0, 127.9, 126.6, 123.8, 121.3, 120.6, 119.3, 110.6, 106.7, 83.6, 82.2, 39.8, 19.0. **ESI-HRMS (m/z):** calculated for $C_{25}H_{20}ClN_2O$ $[M+H]^+$ 399.1264, found 399.1277.

N-phenyl-N-(3-(thiophen-3-yl)prop-2-yn-1-yl)-1H-indole-2-carboxamide (1m)



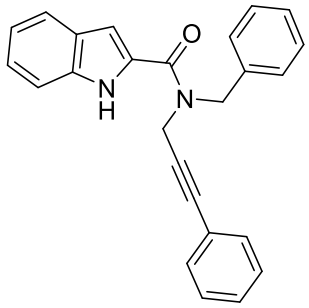
Eluent: Hexane/ethyl acetate = 90:10. White solid, 90 mg, 80% yield, MP: 181-183 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.59 (s, 1H), 7.56 – 7.49 (m, 3H), 7.49 – 7.44 (m, 2H), 7.43 – 7.33 (m, 3H), 7.25 – 7.17 (m, 2H), 7.04 (d, *J* = 4.8 Hz, 1H), 7.01 (t, *J* = 7.6 Hz, 1H), 5.30 (s, 1H), 4.91 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.6, 141.9, 135.5, 129.9, 129.9, 129.1, 129.1, 129.0, 127.6, 125.2, 124.7, 122.3, 121.7, 120.3, 111.6, 107.6, 83.8, 79.7, 40.9. **ESI-HRMS (m/z):** calculated for $C_{22}H_{17}N_2OS$ $[M+H]^+$ 357.1062, found 357.1069.

N-(but-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1n)



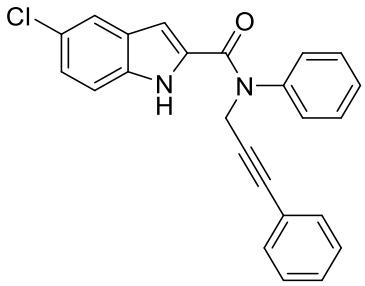
Eluent: Hexane/ethyl acetate = 90:10. White solid, 70 mg, 73% yield, MP: 147-150 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.57 (s, 1H), 7.45 – 7.40 (m, 3H), 7.35 – 7.31 (m, 3H), 7.27 (d, *J* = 8.0 Hz, 1H), 7.12 (d, *J* = 7.5 Hz, 1H), 6.92 (t, *J* = 7.5 Hz, 1H), 5.19 (s, 1H), 4.56 (d, *J* = 2.3 Hz, 2H), 1.73 (t, *J* = 2.3 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.6, 142.2, 135.5, 129. 8, 129.3, 129.2, 129.0, 128.9, 128.8, 127.6, 125.5, 124.6, 123.3, 122.2, 120.2, 117.9, 116.9, 111. 7, 107.5, 80.3, 74.0, 40.7, 3.6. **ESI-HRMS (m/z):** calculated for $C_{19}H_{17}N_2O$ $[M+H]^+$ 289.1341, found 289.1368.

N-benzyl-N-(3-phenylprop-2-yn-1-yl)-1H-indole-2-carboxamide (1o)



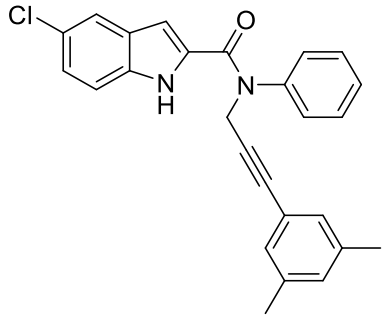
Eluent: Hexane/ethyl acetate = 80:20. White solid, 86 mg, 87% yield, MP: 175-178 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.34 (s, 1H), 7.56 (s, 1H), 7.40 – 7.20 (m, 12H), 7.06 (t, *J* = 6.9 Hz, 1H), 4.95 (bs, 2H), 4.56 (s, 2H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 135.9, 131.8, 128.9, 128.4, 127.8, 127.7, 124.8, 122.2, 120.6, 111.8, 83.9. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O$ $[M+H]^+$ 365.1654, found 365.1621.

5-chloro-N-phenyl-N-(3-phenylprop-2-yn-1-yl)-1H-indole-2-carboxamide (1p)



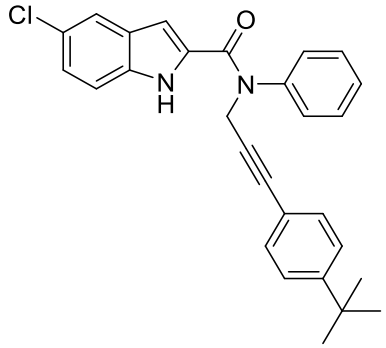
Eluent: Hexane/ethyl acetate = 88:12. White solid, 82 mg, 84% yield, MP: 165-166 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.71 (s, 1H), 7.61 – 7.53 (m, 3H), 7.52 – 7.45 (m, 2H), 7.42 – 7.34 (m, 4H), 7.34 – 7.27 (m, 3H), 7.18 (d, *J* = 8.0 Hz, 1H), 5.22 (s, 1H), 4.95 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.2, 141.7, 133.7, 131.7, 130.4, 129.9, 129.3, 129.1, 128.5, 128.4, 128.3, 125.9, 125.2, 122.6, 121.5, 112.8, 106.9, 84.7, 84.0, 40.9. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}ClN_2O$ $[M+H]^+$ 385.1108, found 385.1093.

5-chloro-N-(3-(3,5-dimethylphenyl)prop-2-yn-1-yl)-N-phenyl-1H-indole-2-carboxamide (1q)



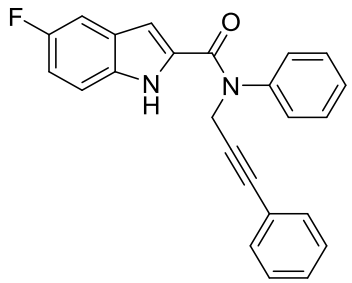
Eluent: Hexane/ethyl acetate = 88:12. White solid, 76 mg, 74% yield, MP: 191-193 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 10.27 (s, 1H), 7.51-7.21 (m, 7H), 6.93 (m, 4H), 5.13 (s, 1H), 4.86 (s, 2H), 2.17 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.5, 141.8, 137.9, 134.08, 130.4, 129.9, 129.5, 129.2, 129.1, 128.5, 125.8, 125.2, 122.2, 121.3, 113.1, 107.0, 85.0, 83.3, 41.1, 21.1. **ESI-HRMS (m/z):** calculated for $C_{26}H_{22}ClN_2O$ $[M+H]^+$ 413.1421, found 413.1420.

N-(3-(4-(tert-butyl)phenyl)prop-2-yn-1-yl)-5-chloro-N-phenyl-1H-indole-2-carboxamide (1r)



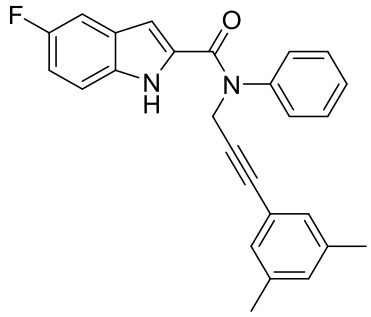
Eluent: Hexane/ethyl acetate = 88:12. White solid, 87 mg, 83% yield, MP: 186-187 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.61 (s, 1H), 7.49 – 7.42 (m, 3H), 7.40 – 7.36 (m, 2H), 7.26 (d, *J* = 7.6 Hz, 2H), 7.23 (s, 4H), 7.06 (d, *J* = 7.6 Hz, 1H), 5.11 (s, 1H), 4.85 (s, 2H), 1.22 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.2, 151.7, 141.6, 133.7, 131.5, 131.5, 130.4, 129.9, 129.9, 129.2, 129.2, 128.5, 125.9, 125.3, 125.18, 121.43, 119.61, 112.75, 106.86, 106.86, 84.82, 83.21, 40.91, 34.76, 31.15. **ESI-HRMS (m/z):** calculated for $C_{28}H_{26}ClN_2O$ $[M+H]^+$ 441.1734, found 441.1721.

5-fluoro-N-phenyl-N-(3-phenylprop-2-yn-1-yl)-1H-indole-2-carboxamide (1s)



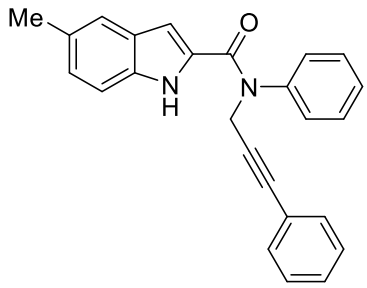
Eluent: Hexane/ethyl acetate = 88:12. White solid, 78 mg, 81% yield, MP: 181-183 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.77 (s, 1H), 7.59 – 7.54 (m, 3H), 7.52 – 7.47 (m, 2H), 7.42 – 7.35 (m, 3H), 7.33 – 7.27 (m, 3H), 7.05 – 6.93 (m, 2H), 5.26 (s, 1H), 4.96 (s, 2H). **^{13}C NMR** (101 MHz, CDCl3): δ 161.3, 156.7 (d, *J* = 237.4 Hz), 141.7, 132.2, 131.7, 130.7, 129.9, 129.2, 129.1, 128.4, 128.3, 122.7, 113.7 (d, *J* = 27.3 Hz), 112.5 (d, *J* = 10.1 Hz), 107.4 (d, *J* = 6.1 Hz), 106.3 (d, *J* = 23.2 Hz), 84.7, 84.0, 40.9. **^{19}F NMR** (377 MHz, $CDCl_3$) δ -123.58. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}FN_2O$ $[M+H]^+$ 369.1403, found 369.1367.

N-(3-(3,5-dimethylphenyl)prop-2-yn-1-yl)-5-fluoro-N-phenyl-1H-indole-2-carboxamide (1t)



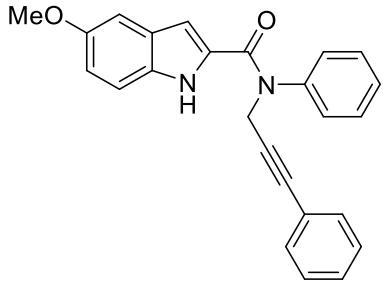
Eluent: Hexane/ethyl acetate = 88:12. White solid, 78 mg, 72% yield, MP: 192-194 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 10.14 (s, 1H), 7.52 – 7.30 (m, 6H), 6.98 – 6.78 (m, 5H), 5.17 (s, 1H), 4.85 (s, 2H), 2.16 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.5, 156.7 (d, *J* = 236.3 Hz), 141.9, 137.8, 132.4, 130.7, 130.3, 129.9, 129.4, 129.2, 129.1, 127.6 (d, *J* = 11.1 Hz), 122.3, 113.6 (d, *J* = 27.3 Hz), 112.8 (d, *J* = 10.1 Hz), 107.4 (d, *J* = 5.1 Hz), 106.1 (d, *J* = 23.2 Hz), 84.9, 83.4, 41.1, 21.1. **^{19}F NMR** (377 MHz, CDCl3): δ -123.71.**ESI-HRMS (m/z):** calculated for $C_{26}H_{22}FN_2O$ $[M+H]^+$ 397.1716, found 397.1721.

5-methyl-N-phenyl-N-(3-phenylprop-2-yn-1-yl)-1H-indole-2-carboxamide (1u)



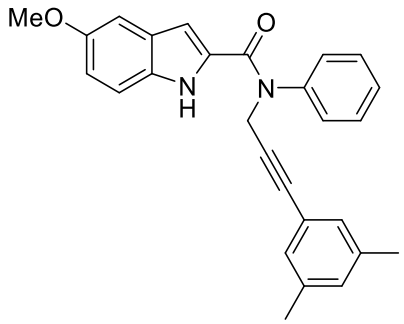
Eluent: Hexane/ethyl acetate = 88:12. White solid, 71 mg, 69% yield, MP: 211-214 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.48 (s, 1H), 7.43 (d, *J* = 4.1 Hz, 3H), 7.41 – 7.36 (m, 2H), 7.32 – 7.27 (m, 2H), 7.25 – 7.16 (m, 4H), 7.05 (s, 1H), 6.95 (d, *J* = 8.3 Hz, 1H), 5.14 (s, 1H), 4.85 (s, 2H), 2.25 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.7, 142.0, 133.9, 131.7, 129.8, 129.5, 129.2, 129.1, 129.0, 128.3, 128.2, 127.9, 126.7, 122.8, 121.5, 111.3, 107.2, 84.6 84.3, 40.8, 21.3. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O$ $[M+H]^+$ 365.1654, found 365.1616.

5-methoxy-N-phenyl-N-(3-phenylprop-2-yn-1-yl)-1H-indole-2-carboxamide (1v)



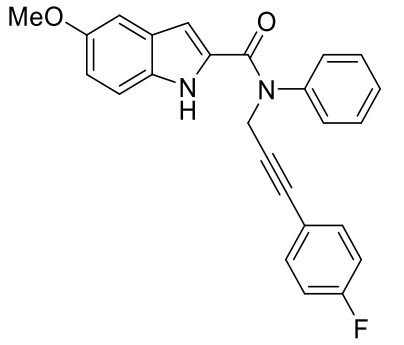
Eluent: Hexane/ethyl acetate = 84:16. White solid, 82 mg, 83% yield, MP: 176-179 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.32 (s, 1H), 7.49 – 7.43 (m, 3H), 7.42 – 7.37 (m, 2H), 7.32 – 7.26 (m, 2H), 7.25 – 7.19 (m, 4H), 6.81 (dd, *J* = 8.9, 2.4 Hz, 1H), 6.67 (d, *J* = 2.1 Hz, 1H), 5.14 (s, 1H), 4.85 (s, 2H), 3.67 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.5, 154.4, 141.9, 131.7, 130.8, 129.8, 129.5, 129.2, 129.1, 128.3, 128.2, 127.9, 122.8, 116.4, 112.5, 107.2, 102.3, 84.6, 84.2, 55.6, 40.8. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O_2$ $[M+H]^+$ 381.1603, found 381.1607.

N-(3-(3,5-dimethylphenyl)prop-2-yn-1-yl)-5-methoxy-N-phenyl-1H-indole-2-carboxamide (1w)



Eluent: Hexane/ethyl acetate = 84:16. White solid, 93 mg, 89% yield, MP: 140-142 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.41 (s, 1H), 7.48 – 7.42 (m, 3H), 7.41 – 7.35 (m, 2H), 7.22 (d, *J* = 8.9 Hz, 1H), 6.93 (s, 2H), 6.85 (s, 1H), 6.81 (d, *J* = 8.9, 2.2 Hz, 1H), 6.67 (d, *J* = 1.9 Hz, 1H), 5.14 (s, 1H), 4.84 (s, 2H), 3.67 (s, 3H), 2.18 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.5, 154.4, 142.0, 137.8, 130.9, 130.3, 129.8, 129.6, 129.4, 129.2, 129.0, 127.9, 122.3, 116.4, 112.6, 107.2, 102.3, 84.8, 83.5, 55.6, 40.9, 21.1. **ESI-HRMS (m/z):** calculated for $C_{27}H_{25}N_2O_2$ $[M+H]^+$ 409.1916, found 409.1883.

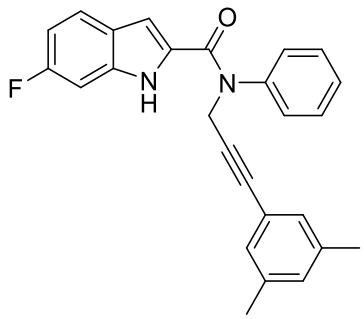
N-(3-(4-fluorophenyl)prop-2-yn-1-yl)-5-methoxy-N-phenyl-1H-indole-2-carboxamide (1x)



Eluent: Hexane/ethyl acetate = 84:16. White solid, 75 mg, 84% yield, MP: 155-156 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.25 (s, 1H), 7.49 – 7.42 (m, 3H), 7.42 – 7.35 (m, 2H), 7.31 – 7.24 (m, 2H), 7.21 (s, 1H), 6.90 (t, *J* = 8.7 Hz, 2H), 6.82 (dd, *J* = 8.9, 2.4 Hz, 1H), 6.67 (d, *J* = 2.0 Hz, 1H), 5.13 (s, 1H), 4.82 (s, 2H), 3.67 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.5, 161.3 (d, *J* = 250.5 Hz), 154.4, 141.9, 133.6 (d, *J* = 8.1 Hz), 130.8, 129.8, 129.5, 129.2, 127.9, 118.8 (d, *J* = 4.0 Hz), 116.4, 115.4 (d, *J* = 22.2 Hz), 112.5, 107.2, 102.3, 83.5 (d, *J* = 46.5 Hz),

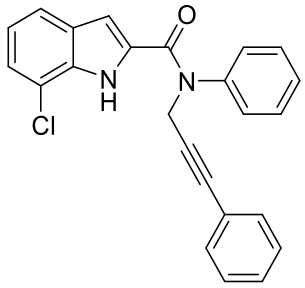
55.6, 40.8. **^{19}F NMR** (377 MHz, $CDCl_3$) δ -110.79. **ESI-HRMS (m/z):** calculated for $C_{25}H_{20}FN_2O_2$ $[M+H]^+$ 399.1509, found 399.1490.

N-(3-(3,5-dimethylphenyl)prop-2-yn-1-yl)-6-fluoro-N-phenyl-1H-indole-2-carboxamide (1y)



Eluent: Hexane/ethyl acetate = 90:10. White solid, 78 mg, 82% yield, MP: 179-181 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.50 (s, 1H), 7.47 – 7.43 (m, 3H), 7.40 – 7.36 (m, 2H), 7.24 – 7.19 (s, 1H), 6.99 (dd, *J* = 9.5, 1.7 Hz, 1H), 6.93 (s, 2H), 6.86 (s, 1H), 6.71 (td, *J* = 9.3, 2.0 Hz, 1H), 5.17 (s, 1H), 4.83 (s, 2H), 2.18 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.2, 160.2 (d, *J* = 242.4 Hz), 141.8, 137.8, 135.4 (d, *J* = 13.1 Hz), 130.3, 129.9, 129.4, 129.2, 129.1, 124.3, 123.4 (d, *J* = 10.1 Hz), 122.3, 109.7 (d, *J* = 25.3 Hz), 107.6, 97.3 (d, *J* = 26.3 Hz), 84.9, 83.3, 40.9, 21.1. **^{19}F NMR** (377 MHz, $CDCl_3$) δ -121.83. **ESI-HRMS (m/z):** calculated for $C_{26}H_{22}FN_2O$ $[M+H]^+$ 397.1716, found 397.1691.

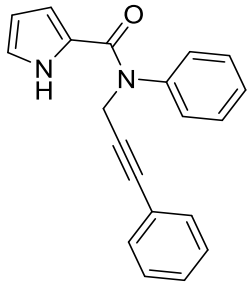
7-chloro-N-phenyl-N-(3-phenylprop-2-yn-1-yl)-1H-indole-2-carboxamide (1z)



Eluent: Hexane/ethyl acetate = 95:5. White solid, 76 mg, 80% yield, MP: 127-130 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.34 (s, 1H), 7.49 – 7.44 (m, 3H), 7.42 – 7.36 (m, 2H), 7.32 – 7.29 (m, 2H), 7.24 – 7.19 (m, 4H), 7.18 – 7.13 (m, 3H), 6.88 (t, *J* = 7.8 Hz, 2H), 5.26 (s, 2H), 4.85 (s, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.99, 141.65, 132.87, 131.73, 129.92, 129.24, 129.15, 128.86, 128.39, 128.25, 123.85, 122.67, 121.01, 120.87, 117.03, 108.16, 84.69, 83.94, 40.86.

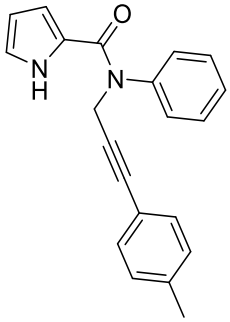
ESI-HRMS (m/z): calculated for $C_{24}H_{18}ClN_2O$ $[M+H]^+$ 385.1108, found 385.1078.

N-phenyl-N-(3-phenylprop-2-yn-1-yl)-1H-pyrrole-2-carboxamide (3a)



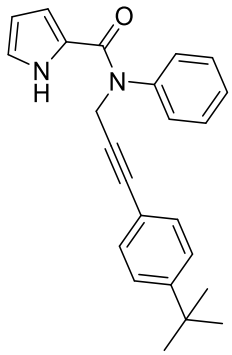
Eluent: Hexane/ethyl acetate = 90:10. White solid, 78 mg, 81% yield, MP: 125-127 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.51 (s, 1H), 7.51 (s, 2H), 7.46 (d, *J* = 6.9 Hz, 2H), 7.38 (d, *J* = 6.9 Hz, 2H), 7.29 (d, *J* = 7.8 Hz, 4H), 6.86 (s, 1H), 5.94 (s, 1H), 4.96 (s, 1H), 4.88 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.8, 142.0, 131.7, 129.7, 129.4, 128.9, 128.2, 128.2, 124.8, 122.9, 121.1, 114.1, 109.9, 84.6, 84.3, 40.3. **ESI-HRMS (m/z):** calculated for $C_{20}H_{17}N_2O$ $[M+H]^+$ 301.1341, found 301.1363.

N-phenyl-N-(3-(*p*-tolyl)prop-2-yn-1-yl)-1H-pyrrole-2-carboxamide (3b)



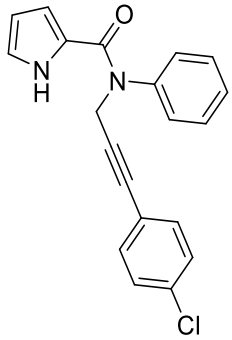
Eluent: Hexane/ethyl acetate = 90:10. White solid, 68 mg, 73% yield, MP: 147-150 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 10.05 (s, 1H), 7.40 – 7.30 (s, 5H), 7.17 (d, *J* = 8.3 Hz, 1H), 6.97 (d, *J* = 8.3 Hz, 1H), 6.77 (m, 1H), 5.82 (m, 1H), 4.87 (s, 1H), 4.77 (s, 2H), 2.22 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.0, 142.2, 138.4, 131.6, 129.7, 129.4, 129.0, 128.8, 124.7, 121.6, 119.8, 114.33, 109.7, 84.4, 84.0, 53.5, 40.4, 21.5. **ESI-HRMS (m/z):** calculated for $C_{21}H_{19}N_2O$ $[M+H]^+$ 315.1497, found 315.1451.

N-(3-(4-(tert-butyl)phenyl)prop-2-yn-1-yl)-N-phenyl-1H-pyrrole-2-carboxamide (3c)



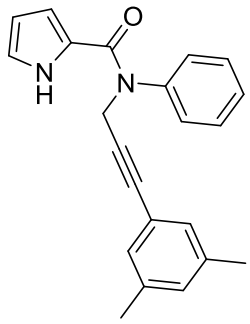
Eluent: Hexane/ethyl acetate = 90:10. White solid, 82 mg, 78% yield, MP: 157-160 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.49 (s, 1H), 7.43 – 7.31 (m, 5H), 7.24 – 7.16 (m, 4H), 6.76 (s, 1H), 5.84 (s, 1H), 4.86 (s, 1H), 4.78 (s, 2H), 1.22 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.8, 151.5, 142.0, 131.4, 129.7, 129.4, 128.8, 125.2, 124.8, 121.1, 119.8, 114.1, 109.9, 84.4, 83.8, 77.3, 77.0, 76.7, 40.3, 34.7, 31.2. **ESI-HRMS (m/z):** calculated for $C_{24}H_{25}N_2O$ $[M+H]^+$ 357.1967, found 357.1986.

N-(3-(4-chlorophenyl)prop-2-yn-1-yl)-N-phenyl-1H-pyrrole-2-carboxamide (3d)



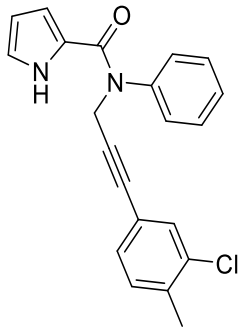
Eluent: Hexane/ethyl acetate = 90:10. White solid, 66 mg, 72% yield, MP: 152-155 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.80 (bs, 1H), 7.44 – 7.37 (m, 3H), 7.36 – 7.29 (m, 2H), 7.17 (q, *J* = 16.0, 8.8Hz, 4H), 6.81 – 6.72 (s, 1H), 5.88 – 5.78 (m, 1H), 4.91 – 4.83 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.8, 142.0, 131.7, 129.7, 129.4, 128.9, 128.2, 128.2, 124.8, 122.9, 121.1, 114.1, 109.9, 84.6, 84.3, 40.3. **ESI-HRMS (m/z):** calculated for $C_{20}H_{16}N_2OCl$ $[M+H]^+$ 335.0951, found 335.0954.

N-(3-(3,5-dimethylphenyl)prop-2-yn-1-yl)-N-phenyl-1H-pyrrole-2-carboxamide (3e)



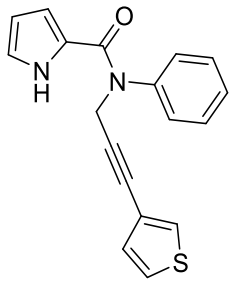
Eluent: Hexane/ethyl acetate = 90:10. White solid, 78 mg, 84% yield, MP: 133-135 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.66 (s, 1H), 7.45 – 7.38 (m, 3H), 7.37 – 7.32 (m, 2H), 6.92 (s, 2H), 6.85 (s, 1H), 6.79 – 6.77 (m, 1H), 5.87 – 5.84 (m, 1H), 4.88 – 4.85 (m, 1H), 4.76 (s, 2H), 2.18 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.9, 142.1, 137.8, 130.2, 129.7, 129.4, 129.4, 128.8, 124.8, 122.5, 121.3, 114.2, 109.8, 84.5, 83.8, 40.4, 21.1. **ESI-HRMS (m/z):** calculated for $C_{22}H_{21}N_2O$ $[M+H]^+$ 329.1654 , found 329.1627.

N-(3-(3-chloro-4-methylphenyl)prop-2-yn-1-yl)-N-phenyl-1H-pyrrole-2-carboxamide (3f)



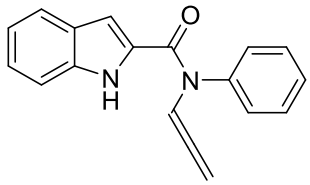
Eluent: Hexane/ethyl acetate = 90:10. White solid, 78 mg, 72% yield, MP: 138-141 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.72 (s, 1H), 7.47 – 7.22 (m, 6H), 7.05 (s, 2H), 6.77 (s, 1H), 5.83 (s, 1H), 4.87 (s, 1H), 4.76 (s, 2H), 2.26 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.9, 142.0, 136.6, 134.1, 132.0, 130.7, 129.9, 129.7, 129.3, 128.9, 124.7, 121.8, 121.3, 114.2, 109.9, 85.1, 82.9, 40.3, 20.0. **ESI-HRMS (m/z):** calculated for $C_{21}H_{18}N_2OCl$ $[M+H]^+$ 349.1108, found 349.1062.

N-phenyl-N-(3-(thiophen-3-yl)prop-2-yn-1-yl)-1H-pyrrole-2-carboxamide (3g)



Eluent: Hexane/ethyl acetate = 90:10. White solid, 78 mg, 70% yield, MP: 181-184 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.82 (s, 1H), 7.43 – 7.37 (m, 2H), 7.36 – 7.30 (m, 1H), 7.28 (d, *J* = 2.4 Hz, 1H), 7.16 – 7.10 (m, 1H), 6.96 (d, *J* = 4.0 Hz, 1H), 6.77 (m, 1H), 5.83 (m, 1H), 4.87 (s, 1H), 4.76 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.0, 142.1, 129.9, 129.7, 129.3, 128.9, 128.8, 125.2, 124.7, 121.9, 121.4, 114.3, 110.1, 109.8, 84.2, 79.4, 40.4. **ESI-HRMS (m/z):** calculated for $C_{18}H_{15}N_2OS$ $[M+H]^+$ 307.0905, found 307.0854.

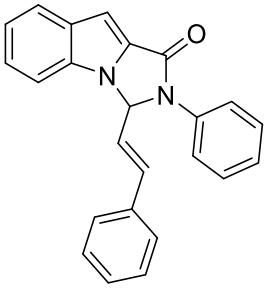
N-phenyl-N-(propa-1,2-dien-1-yl)-1H-indole-2-carboxamide(S4)



Eluent: Hexane/ethyl acetate = 96:4. White solid, 44 mg, 78% yield, MP: 146-147 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 9.67 (s, 1H), 7.83 (t, *J* = 6.3 Hz, 1H), 7.47 – 7.37 (m, 3H), 7.34 – 7.22 (m, 4H), 7.19 – 7.11 (m, 1H), 6.93 (t, *J* = 7.5 Hz, 1H), 5.16 (s, 1H), 5.03 (d, *J* = 6.4 Hz, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 203.21, 159.86, 139.54, 135.72, 129.58, 129.34, 129.19, 128.95, 127.60, 124.98, 122.39, 120.39, 111.69, 107.96, 102.33, 87.07. **ESI-HRMS (m/z):** calculated for $C_{18}H_{14}N_2ONa$ $[M+Na]^+$ 297.1004, found 297.1015.

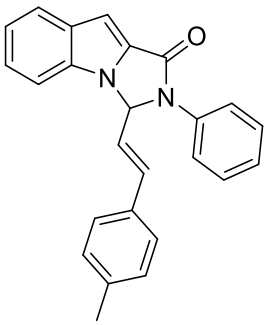
8. Analytical data of products 2a-2z, 4a-4g, P1, P2, 5, 6 and 7:

(*E*)-2-phenyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2a)



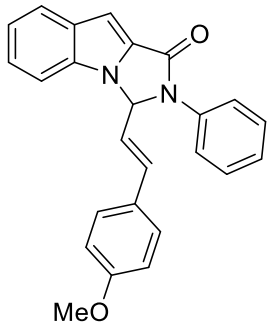
Eluent: Hexane/ethyl acetate = 92:8. White solid, 28.8 mg, 82% yield, MP: 178-182 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.0 Hz, 1H), 7.48 (d, *J* = 8.0 Hz, 2H), 7.34 (t, *J* = 7.8 Hz, 2H), 7.31 – 7.21 (m, 6H), 7.19 – 7.09 (m, 3H), 7.04 – 6.97 (m, 2H), 6.50 (d, *J* = 8.6 Hz, 1H), 5.97 (dd, *J* = 15.8, 8.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 138.5, 135.9, 134.6, 133.0, 132.0, 131.1, 129.3, 128.8, 127.2, 126.5, 124.3, 124.0, 123.8, 123.7, 121.3, 110.5, 99.0, 74.7. **ESI-HRMS (m/z):** calculated for $C_{24}H_{19}N_2O$ $[M+H]^+$ 351.1497, found 351.1485

(*E*)-3-(4-methylstyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2b)



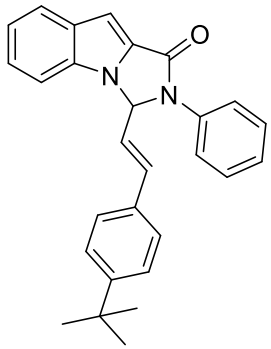
Eluent: Hexane/ethyl acetate = 92:8. White solid, 24.5 mg, 67% yield, MP: 211-213 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 7.9 Hz, 1H), 7.48 (d, *J* = 7.9 Hz, 2H), 7.40 – 7.26 (m, 3H), 7.21 – 7.7 (m, 3H), 7.16 – 7.09 (m, 2H), 7.05 (d, *J* = 8.0 Hz, 2H), 6.99 (d, *J* =15.8 Hz, 2H), 6.49 (d, *J* = 8.6 Hz, 1H), 5.91 (dd, *J* = 15.8, 8.6 Hz, 1H), 2.25 (s, 3H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 139.5, 138.5, 135.9, 133.0, 132.0, 131.8, 131.1, 129.5, 129.2, 127.1, 126.4, 124.3, 124.1, 123.6, 122.7, 121.2, 110.5, 98.9, 74.9, 21.3. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O$ $[M+H]^+$ 365.1654, found 365.1629.

(*E*)-3-(4-methoxystyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2c)



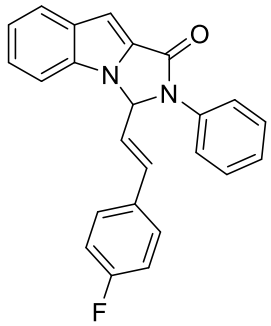
Eluent: Hexane/ethyl acetate = 85:15. Brown solid, 26.7 mg, 70% yield, MP: 189-191 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.72 (d, *J* = 7.8 Hz, 1H), 7.49 (d, *J* = 7.8 Hz, 2H), 7.39 – 7.29 (m, 3H), 7.26 – 7.19 (m, 3H), 7.17 – 7.08 (m, 2H), 6.99 (s, 2H), 7.02 – 6.90 (m, 2H), 6.77 (d, *J* = 8.3 Hz, 2H), 6.50 (d, *J* = 8.6 Hz, 1H), 5.83 (dd, *J* = 15.5, 8.6 Hz, 1H), 3.71 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.5, 138.0, 135.9, 132.0, 129.2, 128.6, 127.3, 126.4, 124.2, 124.1, 123.6, 121.3, 121.2, 114.2, 110.5, 98.9, 75.0, 55.4. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O_2$ $[M+H]^+$ 381.1603, found 381.1573.

(*E*)-3-(4-(tert-butyl)styryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2d)



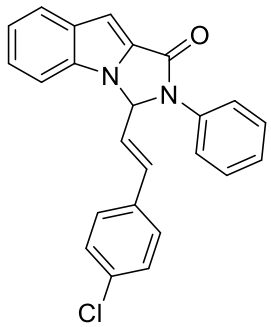
Eluent: Hexane/ethyl acetate = 92:8. White solid, 26.1 mg, 64% yield, MP: 224-227°C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.70 (d, *J* = 7.6Hz, 1H), 7.47 (d, *J* = 8.0 Hz, 1H), 7.29 (m, 7H), 7.16 (m, 3H), 7.00 (t, *J* = 9.2 Hz, 2H), 6.52 (d, *J* = 9.2 Hz, 1H), 5.97 (dd, *J* = 16.0, 8.0 Hz, 1H), 1.22 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 152.7, 138.4, 135.9, 133.0, 132.0, 131.9, 131.1, 129.3, 127.0, 126.4, 125.8, 124.3, 124.1, 123.6, 122.9, 121.2, 110.6, 98.9, 74.9, 34.8, 31.2. **ESI-HRMS (m/z):** calculated for $C_{28}H_{27}N_2O$ $[M+H]^+$ 407.2123, found 407.2095.

(*E*)-3-(4-fluorostyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2e)



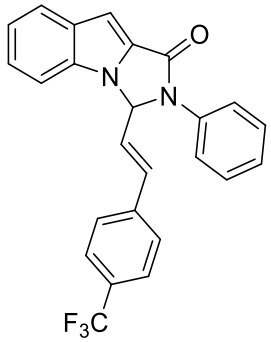
Eluent: Hexane/ethyl acetate = 90:10. White solid, 25.1 mg, 68% yield, MP: 176-180 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.72 (d, *J* = 8.0 Hz, 1H), 7.49 (d, *J* = 7.7 Hz, 2H), 7.36 (t, *J* = 7.9 Hz, 2H), 7.31 – 7.24 (m, 3H), 7.21 (d, *J* = 7.7 Hz, 1H), 7.19 – 7.10 (m, 2H), 7.02 – 6.89 (m, 4H), 6.51 (d, *J* = 8.5 Hz, 1H), 5.90 (dd, *J* = 15.8, 8.5 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 162.00 (d, *J* = 248.0 Hz), 159.4, 137.2, 135.8, 133.0, 132.0, 131.1, 130.7 (d, *J* = 3.2 Hz), 129.3, 128.8 (d, *J* = 8.3 Hz), 126.5, 124.4, 124.0, 123.7, 123.5, 121.3, 115.7 (d, *J* = 21.8 Hz), 110.4, 99.1, 74.5. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -111.35. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}FN_2O$ $[M+H]^+$ 369.1403, found 369.1376

(*E*)-3-(4-chlorostyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2f)



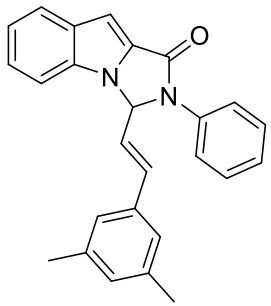
Eluent: Hexane/ethyl acetate = 92:8. White solid, 30.0 mg, 55% yield, MP: 190-193 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.73 (d, *J* = 7.9 Hz, 1H), 7.49 (d, *J* = 7.9 Hz, 2H), 7.36 (t, *J* = 8.0 Hz, 2H), 7.28 (d, *J* = 8.0 Hz, 1H), 7.21 (s, 5H), 7.18 – 7.10 (m, 2H), 7.04 – 6.91 (m, 2H), 6.52 (d, *J* = 8.4 Hz, 1H), 5.96 (dd, *J* = 15.7, 8.4 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.4, 137.1, 135.8, 135.2, 133.0, 132.0, 131.0, 129.3, 129.0, 128.4, 126.5, 124.4, 124.0, 123.8, 121.3, 110.4, 99.2, 74.5. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}ClN_2O$ $[M+H]^+$ 385.1108, found 385.1072.

(*E*)-2-phenyl-3-(4-(trifluoromethyl)styryl)-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2g)



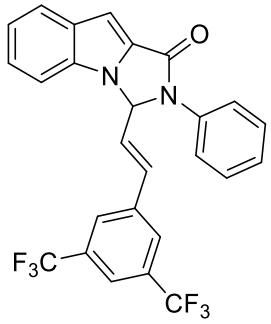
Eluent: Hexane/ethyl acetate = 92:8. White solid, 21.8 mg, 52% yield, MP: 140-143 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.74 (t, *J* = 8.0 Hz, 1H), 7.52 – 7.46 (m, 4H), 7.42 – 7.33 (m, 4H), 7.28 (d, *J* = 8.2 Hz, 1H), 7.21 (m, 1H), 7.15 (m, 2H), 7.07 – 6.98 (m, 2H), 6.55 (d, *J* = 8.4 Hz, 1H), 6.09 (dd, *J* = 15.8, 8.4 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.4, 137.9, 136.8, 135.7, 133.0, 132.1, 131.0, 129.4, 127.4, 126.6, 126.5, 125.8 (d, *J* = 8.3 Hz), 124.5, 124.0, 121.4 (q, *J* = 243.4 Hz), 110.3, 99.4, 74.2. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -62.83. **ESI-HRMS (m/z):** calculated for $C_{25}H_{18}F_3N_2O$ $[M+H]^+$ 419.1371, found 419.1351.

(*E*)-3-(3,5-dimethylstyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2h)



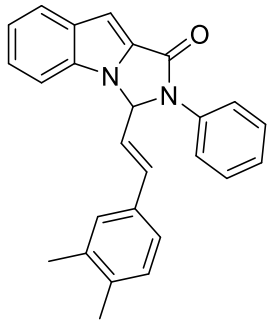
Eluent: Hexane/ethyl acetate = 92:8. White solid, 29.2 mg, 77% yield, MP: 207-209 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.72 (d, *J* = 7.8 Hz, 1H), 7.49 (d, *J* = 7.8 Hz, 2H), 7.39 – 7.29 (m, 3H), 7.28 – 7.19 (m, 1H) 7.17 – 7.09 (m, 2H), 7.02 – 6.91 (m, 4H), 6.87 (s, 1H), 6.50 (d, *J* = 8.6 Hz, 1H), 5.95 (dd, *J* = 15.7, 8.6 Hz, 1H), 2.20 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 138.8, 138.4, 135.9, 134.4, 133.0, 132.0, 131.1, 131.0, 129.3, 126.4, 125.0, 124.3, 124.0, 123.6, 123.3, 121.2, 110.5, 99.0, 74.9, 21.1. **ESI-HRMS (m/z):** calculated for $C_{26}H_{23}N_2O$ $[M+H]^+$ 379.1810, found 379.1779.

(*E*)-3-(3,5-bis(trifluoromethyl)styryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2i)



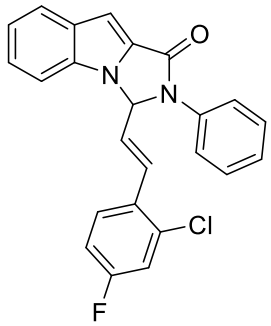
Eluent: Hexane/ethyl acetate = 92:8. White solid, 29.2 mg, 60% yield, MP: 178-180 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.77 – 7.71 (m, 1H), 7.70 (s, 2H), 7.50 (d, *J* = 7.6 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.27 – 7.20 (m, 1H), 7.17 – 7.14 (m, 1H), 7.08 – 7.01 (m, 1H), 6.59 (d, *J* = 8.3 Hz, 1H), 6.19 (dd, *J* = 15.9, 8.3 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.2, 135.2 (d, *J* = 33.0 Hz), 129.5, 128.0, 126.7, 124.0 (d, *J* = 243.0 Hz), 123.9, 121.5, 121.0, 120.1, 110.1, 99.6, 73.7, 53.4. **^{19}F NMR** (377 MHz, $CDCl_3$) δ -63.06. **ESI-HRMS (m/z):** calculated for $C_{26}H_{17}F_6N_2O$ $[M+H]^+$ 487.1245, found 487.1233.

(*E*)-3-(3,4-dimethylstyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2j)



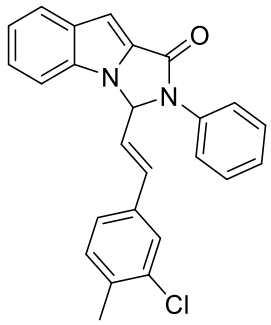
Eluent: Hexane/ethyl acetate = 92:8. White solid, 25.8 mg, 68% yield, MP: 190-192 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.72 (d, *J* = 7.9 Hz, 1H), 7.49 (d, *J* = 7.9 Hz, 2H), 7.37 – 7.29 (m, 4H), 7.09 (d, *J* = 8.0 Hz, 2H), 7.06 – 7.01 (m, 2H), 6.99 (d, *J* = 8.0 Hz, 2H), 6.94 (s, 1H), 6.51 (d, *J* = 8.6 Hz, 1H), 5.93 (dd, *J* = 15.7, 8.6 Hz, 1H), 2.17 (s, 3H), 2.15 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.4, 135.8, 134.0, 133.0, 132.1, 131.0, 129.3, 128.7, 128.7, 126.6, 126.4, 124.5, 123.8, 123.7, 121.4, 117.3, 117.0, 114.8, 114.6, 110.3, 99.3, 74.3. **ESI-HRMS (m/z):** calculated for $C_{26}H_{23}N_2O$ $[M+H]^+$ 379.1810, found 379.1836.

(*E*)-3-(2-chloro-4-fluorostyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2k)



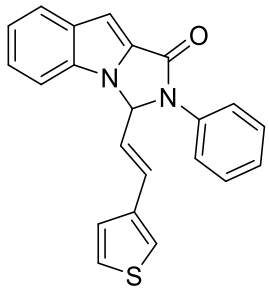
Eluent: Hexane/ethyl acetate = 92:8. White solid, 24.9 mg, 62% yield, MP: 172-174 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.73 (d, *J* = 8.0 Hz, 1H), 7.52 (d, *J* = 8.0 Hz, 2H), 7.42 – 7.33 (m, 4H), 7.28 – 7.19 (m, 3H), 7.18 – 7.13 (m, 1H), 7.06 (dd, *J* = 8.4, 2.5 Hz, 1H), 7.01 (s, 1H), 6.82 (td, *J* = 8.4, 2.5 Hz, 1H), 6.58 (d, *J* = 8.6 Hz, 1H), 5.85 (dd, *J* = 15.8, 8.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.4 (d, *J* = 253.5 Hz), 159.4, 135.8, 134.3 (d, *J* = 10.1 Hz), 134.0, 133.0, 132.1, 131.0, 129.3, 128.7 (d, *J* = 9.1 Hz, 2H), 126.7 (d, *J* = 2.02 Hz), 126.4, 124.5, 123.8, 123.7, 121.4, 117.0 (d, *J* = 24.5 Hz), 114.6 (d, *J* = 21.2 Hz), 110.3, 99.3, 74.37. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -109.67. **ESI-HRMS (m/z):** calculated for $C_{24}H_{17}ClFN_2O$ $[M+H]^+$ 403.1013, found 403.0981.

(*E*)-3-(3-chloro-4-methylstyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2l)



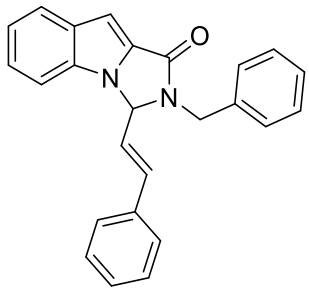
Eluent: Hexane/ethyl acetate = 92:8. White solid, 20.3 mg, 51% yield. MP: 173-176 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.72 (d, *J* =7.8 Hz, 1H), 7.49 (d, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.31 – 7.22 (m, 3H), 7.13 – 7.05 (m, 4H), 7.01 (s, 1H), 6.92 (d, *J* = 15.3 Hz, 1H), 6.52 (d, *J* = 8.3 Hz, 1H), 5.95 (dd, *J* = 15.3, 8.3 Hz, 1H), 2.27 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 139.3, 139.3, 137.3, 137.0, 135.9, 134.9, 133.9, 131.2, 131.0, 129.3, 127.6, 126.5, 125.2, 124.4, 124.2, 124.0, 123.7, 121.3, 114.1, 110.4, 99.2, 74.5. **ESI-HRMS (m/z):** calculated for $C_{25}H_{20}ClN_2O$ $[M+H]^+$ 399.1264, found 399.1245.

(*E*)-2-phenyl-3-(2-(thiophen-3-yl)vinyl)-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2m)



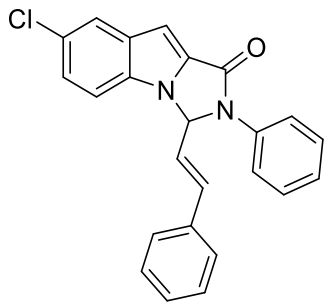
Eluent: Hexane/ethyl acetate = 90:10. White solid, 23.6 mg, 66% yield, MP: 191-192 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.70 (d, *J* = 8.1 Hz, 1H), 7.47 (d, *J* = 8.1 Hz, 2H), 7.35 (t, *J* = 7.9 Hz, 2H), 7.28 (d, *J* = 8.2 Hz, 1H), 7.23 – 7.21 (m, 1H), 7.20 – 7.16 (m, 3H), 7.15 – 7.10 (m, 3H), 6.45 (d, *J* = 8.6 Hz, 1H), 5.80 (dd, *J* = 15.7, 8.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 137.3, 135.9, 133.0, 132.3, 132.0, 131.1, 129.3, 126.8, 126.4, 125.2, 125.1, 124.3, 124.0, 123.7, 123.3, 121.3, 110.5, 99.0, 74.7. **ESI-HRMS (m/z):** calculated for $C_{22}H_{17}N_2OS$ $[M+H]^+$ 357.1062, found 357.1069.

(*E*)-2-benzyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2o)



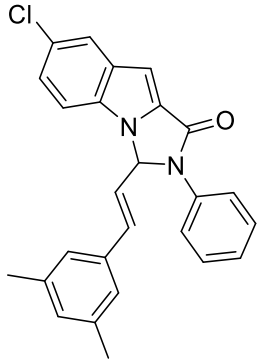
Eluent: Hexane/ethyl acetate = 88:12. White solid, 23.7 mg, 65% yield. MP: 193-195 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.67 (d, *J* = 7.3 Hz, 1H), 7.39 – 7.21 (m, 10H), 7.17 – 7.03 (m, 3H), 6.95 – 6.85 (m, 2H), 5.88 (dd, *J* = 15.4, 8.7 Hz, 1H), 5.77 (d, *J* = 8.7 Hz, 1H), 5.24 (d, *J* = 15.2 Hz, 1H), 4.14 (d, *J* = 15.2 Hz, 1H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.4, 138.9, 136.4, 134.6, 133.1, 131.9, 131.2, 129.4, 129.0, 128.9, 128.4, 128.0, 127.2, 124.0, 123.6, 123.6, 121.0, 110.2, 98.3, 72.9, 43.8. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O$ $[M+H]^+$ 365.1654, found 365.1676.

(*E*)-7-chloro-2-phenyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2p)



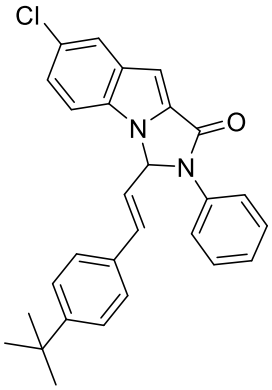
Eluent: Hexane/ethyl acetate = 92:8. White solid, 33.1 mg, 86% yield. MP: 189-192 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.69 (d, *J* = 1.6 Hz, 1H), 7.62 – 7.51 (m, 1H), 7.47 (d, *J* = 7.7 Hz, 2H), 7.35 (t, *J* = 7.9 Hz, 2H), 7.31 – 7.27 (m, 2H), 7.26 – 7.22 (m, 3H), 7.21 (s, 1H), 7.17 – 7.12 (m, 1H), 6.98 (d, *J* = 15.8 Hz, 1H), 6.93 (s, 1H), 6.50 (d, *J* = 8.6 Hz, 1H), 5.97 (dd, *J* = 15.8, 8.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.0, 138.9, 135.6, 134.4, 132.9, 132.3, 131.3, 129.5, 129.4, 128.9, 127.2, 127.1, 126.7, 124.9, 124.1, 123.3, 122.9, 111.4, 98.5, 74.8 **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}ClN_2O$ $[M+H]^+$ 385.1108, found 385.1079.

(*E*)-7-chloro-3-(3,5-dimethylstyryl)-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2q)



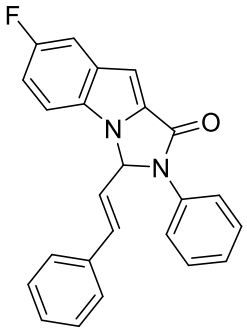
Eluent: Hexane/ethyl acetate = 92:8. White solid, 32.6 mg, 79% yield. MP: 184-187 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.76 (d, *J* = 1.8 Hz, 1H), 7.58 – 7.51 (m, 2H), 7.42 (t, *J* = 7.9 Hz, 2H), 7.28 (t, *J* = 5.8 Hz, 1H), 7.26 – 7.23 (m, 1H), 7.20 (dd, *J* = 8.8, 1.9 Hz, 1H), 7.05 – 6.93 (m, 5H), 6.56 (d, *J* = 8.7 Hz, 1H), 6.00 (dd, *J* = 15.7, 8.7 Hz, 1H), 2.28 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.0, 139.2, 138.5, 135.7, 134.3, 132.9, 132.3, 131.3, 131.2, 129.3, 127.0, 126.1, 125.1, 124.8, 124.1, 122.8, 111.5, 98.4, 75.0, 21.1. **ESI-HRMS (m/z):** calculated for $C_{26}H_{22}ClN_2O$ $[M+H]^+$ 413.1421, found 413.1391.

(*E*)-3-(4-(tert-butyl)styryl)-7-chloro-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2r)



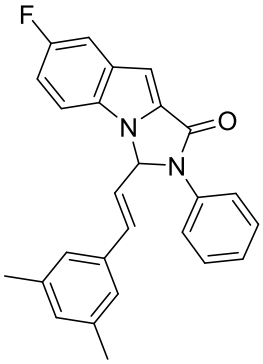
Eluent: Hexane/ethyl acetate = 92:8. White solid, 26.2 mg, 66% yield. MP: 217-219 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.69 (s, 1H), 7.47 (d, *J* = 8.0 Hz, 2H), 7.35 (t, *J* = 8.0 Hz, 2H), 7.31 – 7.20 (m, 5H), 7.18 (s, 1H), 7.14 (t, *J* = 8.4 Hz, 1H), 6.99 (d, *J* = 15.7 Hz, 1H), 6.93 (s, 1H), 6.51 (d, *J* = 8.6 Hz, 1H), 5.93 (dd, *J* = 15.7, 8.6 Hz, 1H), 1.22 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.1, 152.9, 138.7, 135.6, 132.9, 132.4, 131.6, 131.3, 129.3, 127.0, 126.7, 125.8, 124.8, 124.2, 122.8, 122.4, 111.5, 98.40, 75.0, 34.8, 31.2. **ESI-HRMS (m/z):** calculated for $C_{28}H_{26}ClN_2O$ $[M+H]^+$ 441.1734, found 441.1714.

(*E*)-7-fluoro-2-phenyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2s)



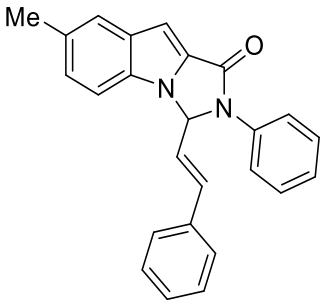
Eluent: Hexane/ethyl acetate = 92:8. White solid, 26.2 mg, 71% yield. MP: 181-183 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.48 (d, *J* = 7.8 Hz, 2H), 7.40 – 7.33 (m, 3H), 7.32 – 7.20 (m, 7H), 7.04 – 6.91 (m, 3H), 6.51 (d, *J* = 8.6 Hz, 1H), 5.97 (dd, *J* = 15.8, 8.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.6, 159.1, 138.8, 135.7, 134.4, 132.6, 129.7, 129.4, 129.3, 128.9, 127.2, 126.6, 124.1, 123.5, 113.3 (d, *J* = 27.3 Hz), 111.2 (d, *J* = 9.9 Hz), 108.2 (d, *J* = 17.2 Hz), 98.9 (d, *J* = 5.1 Hz), 74.8. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -121.79. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}FN_2O$ $[M+H]^+$ 369.1403, found 369.1396.

(*E*)-3-(3,5-dimethylstyryl)-7-fluoro-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2t)



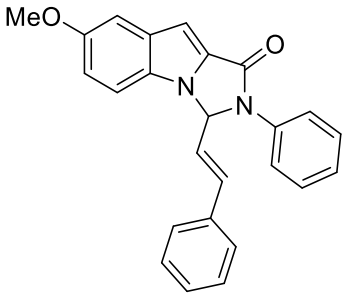
Eluent: Hexane/ethyl acetate = 92:8. White solid, 25.4 mg, 64% yield. MP: 190-195 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.47 (d, *J* = 7.9 Hz, 2H), 7.34 (d, *J* = 7.3 Hz, 3H), 7.26 – 7.11 (m, 2H), 6.98 – 6.83 (m, 6H), 6.47 (d, *J* = 8.6 Hz, 1H), 5.93 (dd, *J* = 15.7, 8.7 Hz, 1H), 2.20 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.1, 157.2 (d, *J* = 238.4 Hz), 139.1, 138.5, 135.8, 134.3, 132.6, 132.3 (d, *J* = 10.1 Hz), 131.1, 129.7, 129.3, 126.5, 125.1, 124.0, 123.0, 113.2 (d, *J* = 27.3 Hz), 111.3 (d, *J* = 10.1 Hz), 107.9 (d, *J* = 23.2 Hz), 98.8 (d, *J* = 5.1 Hz), 74.9, 21.2. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -121.83. **ESI-HRMS (m/z):** calculated for $C_{26}H_{22}FN_2O$ $[M+H]^+$ 397.1716, found 397.1731.

(*E*)-7-methyl-2-phenyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2u)



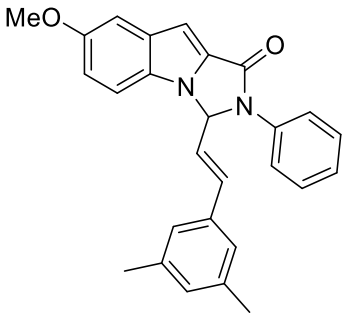
Eluent: Hexane/ethyl acetate = 90:10. White solid, 23.7 mg, 65% yield. MP: 199-201 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.49 (d, *J* = 7.6 Hz, 3H), 7.34 (t, *J* = 7.9 Hz, 2H), 7.30 – 7.21 (m, 5H), 7.20 – 7.13 (m, 2H), 7.04 – 6.95 (m, 2H), 6.91 (s, 1H), 6.47 (d, *J* = 8.5 Hz, 1H), 5.96 (dd, *J* = 15.8, 8.5 Hz, 1H), 2.37 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.6, 159.1, 138.4, 136.0, 134.6, 132.3, 131.5, 131.1, 130.7, 129.3, 129.2, 128.8, 127.2, 126.4, 126.2, 123.9, 123.0, 110.1, 98.5 74.6, 21.5. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O$ $[M+H]^+$ 365.1654, found 365.1619.

(*E*)-7-methoxy-2-phenyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2v)



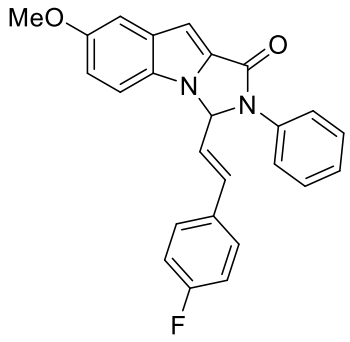
Eluent: Hexane/ethyl acetate = 85:15. White solid, 30.8 mg, 81% yield. MP: 206-208 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.55 (d, *J* =7.6 Hz, 2H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.38 – 7.29 (m, 5H), 7.28 – 7.23 (m, 2H), 7.19 (s, 1H), 7.05 (d, *J* = 15.7 Hz, 1H), 7.00 (s, 1H), 6.94 (d, *J* = 8.7 Hz, 1H), 6.54 (d, *J* = 8.3 Hz, 1H), 6.03 (dd, *J* = 15.6, 8.5 Hz, 1H), 3.86 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 155.1, 138.4, 135.9, 134.6, 132.6, 131.5, 129.3, 128.8, 128.4, 127.2, 126.4, 124.0, 123.9, 115.8, 111.3, 103.9, 98.6, 74.7, 55.7. **ESI-HRMS (m/z):** calculated for $C_{25}H_{21}N_2O_2$ $[M+H]^+$ 381.1603, found 381.1598.

(*E*)-3-(3,5-dimethylstyryl)-7-methoxy-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2w)



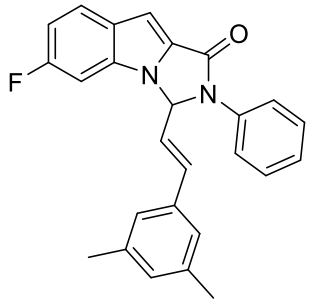
Eluent: Hexane/ethyl acetate = 85:15. White solid, 24.5 mg, 60% yield. MP: 184-187 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.48 (d, *J* = 7.6 Hz, 2H), 7.34 (t, *J* = 7.9 Hz, 2H), 7.20 – 7.15 (m, 2H), 7.12 (d, *J* = 2.4 Hz, 1H), 6.97 – 6.89 (m, 4H), 6.89 – 6.81 (m, 2H), 6.45 (d, *J* = 8.6 Hz, 1H), 5.93 (dd, *J* = 15.8, 8.6 Hz, 1H), 3.78 (s, 3H), 2.20 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 155.0, 138.7, 138.4, 136.0, 134.5, 132.5, 131.5, 131.0, 129.2, 128.4, 126.3, 125.0, 123.9, 123.4, 115.8, 111.3, 103.8, 98.5, 74.9, 55.7, 21.1. **ESI-HRMS (m/z):** calculated for $C_{27}H_{25}N_2O_2$ $[M+H]^+$ 409.1916, found 409.1903.

(*E*)-3-(4-fluorostyryl)-7-methoxy-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2x)



Eluent: Hexane/ethyl acetate = 85:15. White solid, 29.0 mg, 73% yield. MP: 193-195 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.47 (d, *J* = 7.9 Hz, 2H), 7.35 (t, *J* = 7.9 Hz, 2H), 7.26 (dd, *J* = 8.5, 5.4 Hz, 1H), 7.18 – 7.10 (m, 3H), 6.98 – 6.83 (m, 5H), 6.46 (d, *J* = 8.5 Hz, 1H), 5.88 (dd, *J* = 15.8, 8.5 Hz, 1H), 3.79 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5 (d, *J* = 256.5 Hz), 155.1, 137.1, 135.9, 132.6, 131.5, 129.3, 128.8 (d, *J* = 9.1 Hz), 128.4, 126.4, 123.6 (d, *J* = 2.02 Hz), 116.0, 115.8 (d, *J* = 9.1 Hz), 111.2, 103.9, 98.7, 74.6, 55.7. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -111.36. **ESI-HRMS (m/z):** calculated for $C_{25}H_{19}FN_2O_2Na$ $[M+Na]^+$ 421.1328, found 421.1291.

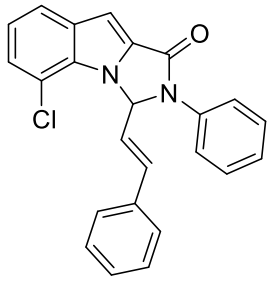
(*E*)-3-(3,5-dimethylstyryl)-6-fluoro-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2y)



Eluent: Hexane/ethyl acetate = 90:10. White solid, 23 mg, 58% yield. MP: 202-203 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.66 – 7.56 (m, 1H), 7.47 (d, *J* = 7.4 Hz, 2H), 7.34 (t, *J* = 7.4 Hz, 2H), 7.17 – 7.13 (m, 1H), 7.00-6.86 (m, 7H), 6.46 (d, *J* = 8.0 Hz, 1H), 5.93 (dd, *J* = 16.0, 8.0 Hz, 1H), 2.20 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5 (d, *J* = 243.4 Hz), 159.2, 139.2, 138.5, 135.8, 134.3, 132.7 (d, *J* = 12.1 Hz), 131.1 (d, *J* = 4.0 Hz), 129.3, 129.2, 128.5, 126.5, 125.1, 124.7 (d, *J* = 10.1 Hz), 124.1, 122.7, 120.2, 110.5 (d, *J* = 25.3 Hz), 99.2, 96.7 (d, *J* =

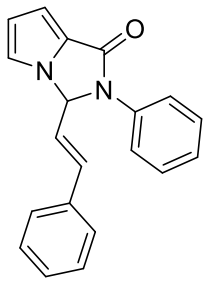
27.3 Hz), 74.9, 21.2. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -115.71. **ESI-HRMS (m/z):** calculated for $C_{26}H_{22}FN_2O$ $[M+H]^+$ 397.1716, found 397.1688.

(*E*)-5-chloro-2-phenyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (2z)



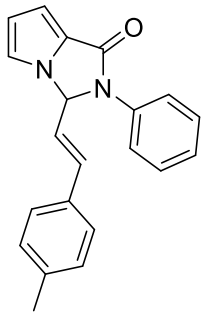
Eluent: Hexane/ethyl acetate = 95:5. White solid, 30 mg, 78% yield. MP: 132-135 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.60 (d, *J* = 8.0 Hz, 1H), 7.55 (d, *J* = 8.0 Hz, 2H), 7.36 (t, *J* = 8.0 Hz, 2H), 7.21 – 7.15 (m, 7H), 7.07 – 7.00 (m, 2H), 6.90 (d, *J* = 7.4 Hz, 1H), 6.67 (d, *J* = 15.8 Hz, 1H), 6.02 (dd, *J* = 15.8, 7.4 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.7, 137.4, 135.9, 135.0, 134.2, 132.9, 130.6, 129.4, 129.2, 128.9, 128. 7, 127.0, 126.6, 124.8, 124.6, 123.9, 122.1, 116.9, 100.0, 75.4. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}N_2OCl$ $[M+H]^+$ 385.1108, found 385.1121.

(*E*)-2-phenyl-3-styryl-2,3-dihydro-1H-pyrrolo[1,2-c]imidazol-1-one (4a)



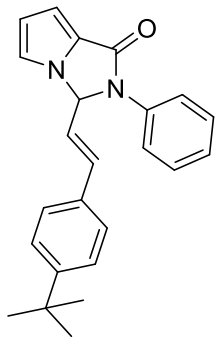
Eluent: Hexane/ethyl acetate = 88:12. White solid, 19.5 mg, 65% yield, MP: 147-148 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.44 (d, *J* = 7.9 Hz, 1H), 7.35 – 7.21 (m, 4H), 7.11 (t, *J* = 7.4 Hz, 1H), 6.92 – 6.81 (m, 1H), 6.67 (d, *J* = 3.5 Hz, 1H), 6.45 – 6.37 (m, 1H), 6.29 (d, *J* = 8.4 Hz, 1H), 5.96 (dd, *J* = 15.8, 8.4 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.0, 138.0, 136.3, 134.6, 129.3, 129.2, 129.1, 128.8, 127.1, 126.5, 125.8, 124.2, 123.5, 120.0, 117.4, 115.2, 106.2, 74.8. **ESI-HRMS (m/z):** calculated for $C_{20}H_{17}N_2O$ $[M+H]^+$ 301.1341, found 301.1353.

(*E*)-3-(4-methylstyryl)-2-phenyl-2,3-dihydro-1H-pyrrolo[1,2-c]imidazol-1-one (4b)



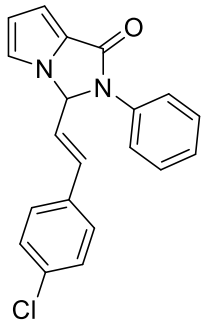
Eluent: Hexane/ethyl acetate = 90:10. White solid, 18.2 mg, 58% yield, MP: 147-150 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.46 – 7.38 (m, 4H), 7.30 (t, *J* = 7.2 Hz, 2H), 7.11 (t, *J* = 7.4 Hz, 1H), 7.07 – 7.03 (m, 2H), 6.88 (d, *J* = 2.4 Hz, 1H), 6.84 (d, *J* = 16.0 Hz, 1H), 6.67 (d, *J* = 3.6 Hz, 1H), 6.41 (s, 1H), 6.26 (d, *J* = 8.4 Hz, 1H), 5.91 (dd, *J* = 16.0, 8.4 Hz, 1H), 2.26 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 170.9, 159.1, 139.5, 138.0, 136.3, 133.7, 131.8, 130.2, 129.5, 129.33, 129.2, 128.5, 127.1, 126.5, 125.8, 123.6, 123.1, 117.4, 115.1, 106.2, 75.0, 21.3. **ESI-HRMS (m/z):** calculated for $C_{21}H_{19}N_2O$ $[M+H]^+$ 315.1497, found 315.1464.

(*E*)-3-(4-(tert-butyl)styryl)-2-phenyl-2,3-dihydro-1H-pyrrolo[1,2-c]imidazol-1-one (4c)



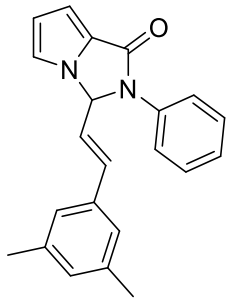
Eluent: Hexane/ethyl acetate = 90:10. White solid, 21.4 mg, 60% yield, MP: 187-190 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.42 (t, *J* = 7.4 Hz, 2H), 7.33 – 7.26 (m, 4H), 7.26 – 7.21 (m, 2H), 7.11 (t, *J* = 7.4 Hz, 1H), 6.90 – 6.80 (m, 2H), 6.67 (d, *J* = 3.5 Hz, 1H), 6.43 – 6.38 (m, 1H), 6.28 (d, *J* = 8.5 Hz, 1H), 5.93 (dd, *J* = 15.8, 8.5 Hz, 1H), 1.22 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.0, 152.7, 137.9, 136.3, 131.8, 129.2, 126.9, 125.8, 125.8, 123.5, 123.4, 117.4, 115.1, 106.1, 75.0, 34.8, 31.2. **ESI-HRMS (m/z):** calculated for $C_{24}H_{25}N_2O$ $[M+H]^+$ 357.1967, found 357.1986.

(*E*)-3-(4-chlorostyryl)-2-phenyl-2,3-dihydro-1H-pyrrolo[1,2-c]imidazol-1-one (4d)



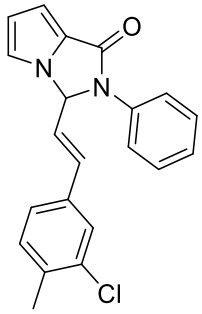
Eluent: Hexane/ethyl acetate = 85:15. White solid, 17 mg, 51% yield, MP: 131-134 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.11 (d, *J* = 7.3 Hz, 1H), 7.51 – 7.46 (m, 2H), 7.38 (t, *J* = 7.9 Hz, 2H), 7.28 (s, 4H), 7.20 (t, *J* = 7.4 Hz, 1H), 6.95 (d, *J* = 2.0 Hz, 1H), 6.85 (d, *J* = 15.8 Hz, 1H), 6.74 (d, *J* = 3.3 Hz, 1H), 6.48 (m, 1H), 6.35 (d, *J* = 8.4 Hz, 1H), 6.00 (dd, *J* = 15.8, 8.4 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.4, 136.7, 136.2, 135.1, 133.6, 133.0, 130.2, 129.2, 129.0, 128.5, 128.3, 126.4, 125.9, 124.8, 123.5, 117.4, 115.3, 106.4, 74.6. **ESI-HRMS (m/z):** calculated for $C_{20}H_{16}ClN_2O$ $[M+H]^+$ 335.0951, found 335.0965.

(*E*)-3-(3,5-dimethylstyryl)-2-phenyl-2,3-dihydro-1H-pyrrolo[1,2-c]imidazol-1-one (4e)



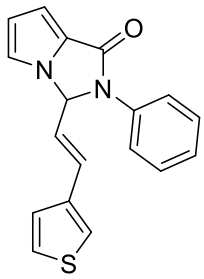
Eluent: Hexane/ethyl acetate = 88:12. White solid, 24.4 mg, 74% yield, MP: 195-198 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.42 (d, *J* = 7.6 Hz, 2H), 7.29 (t, *J* = 7.6 Hz, 2H), 7.09 (t, *J* = 7.4 Hz, 1H), 6.90 (s, 2H), 6.86 (s, 2H), 6.77 (s, 1H), 6.65 (d, *J* = 3.6 Hz,1H), 6.39 (m, 1H), 6.25 (d, *J* = 8.5 Hz, 1H), 5.91 (dd, *J* = 15.8, 8.5 Hz, 1H), 2.20 (s, 6H).**^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.0, 138.4, 138.3, 136.4, 134.5, 131.0, 129.2, 126.5, 125.8, 125.0, 123.8, 123.4, 117.4, 115.1, 106.1, 75.0, 21.2. **ESI-HRMS (m/z):** calculated for $C_{22}H_{21}N_2O$ $[M+H]^+$ 329.1654, found 329.1627.

(*E*)-3-(3-chloro-4-methylstyryl)-2-phenyl-2,3-dihydro-1H-pyrrolo[1,2-c]imidazol-1-one (4f)



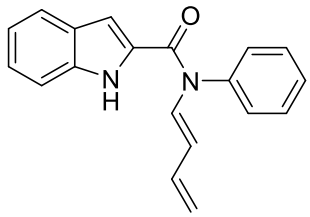
Eluent: Hexane/ethyl acetate = 85:15. White solid, 18.1 mg, 52% yield, MP: 140-143 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.53 (d, *J* = 7.8 Hz, 1H), 7.42 (d, *J* = 7.8 Hz, 1H), 7.32 (d, *J* = 7.6 Hz, 1H), 7.30 – 7.27 (m, 1H), 7.26 (s, 1H), 7.15 – 7.10 (m, 1H), 7.06 (dd, *J* = 14.8, 7.1 Hz, 2H), 6.92 – 6.86 (m, 1H), 6.75 (d, *J* = 15.8 Hz, 1H), 6.69 – 6.64 (m, 1H), 6.46 – 6.35 (m, 1H), 6.29 – 6.21 (m, 1H), 5.92 (dd, *J* = 15.8, 8.4 Hz, 1H), 2.27 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.9, 137.2, 136.6, 134.9, 133.9, 131.2, 129.2, 129.1, 127.5, 125.9, 125.2, 124.6, 124.2, 120.0, 117.4, 115.2, 110.2, 109.5, 106.3, 74.6, 19.9. **ESI-HRMS (m/z):** calculated for $C_{21}H_{18}ClN_2O$ $[M+H]^+$ 349.1108, found 349.1125.

(*E*)-2-phenyl-3-(2-(thiophen-3-yl)vinyl)-2,3-dihydro-1H-pyrrolo[1,2-c]imidazol-1-one (4g)



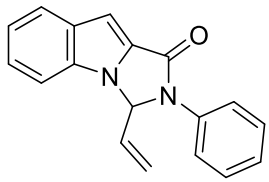
Eluent: Hexane/ethyl acetate = 85:15. White solid, 16.8 mg, 55% yield, MP: 168-170 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.51 – 7.47 (m, 2H), 7.40 – 7.36 (m, 2H), 7.28 (s, 4H), 7.20 (t, *J* = 7.6Hz, 1H), 6.95 (d, *J* = 2.0 Hz, 1H), 6.88 – 6.84 (m, 1H), 6.74 (d, *J* = 3.6 Hz, 1H), 6.50 – 6.46 (m, 1H), 6.35 (d, *J* = 8.4 Hz, 1H), 6.00 (dd, *J* = 16.0, 8.4 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.9, 137.4, 136.3, 131.9, 129.2, 126.8, 126.5, 125.8, 125.2, 125.0, 123.9, 123.5, 117.4, 115.1, 106.2, 74.9. **ESI-HRMS (m/z):** calculated for $C_{18}H_{15}N_2OS$ $[M+H]^+$ 307.0905, found 307.0903.

(*E*)-N-(buta-1,3-dien-1-yl)-N-phenyl-1H-indole-2-carboxamide (P1)



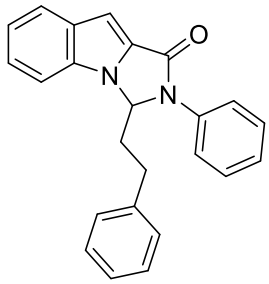
Eluent: Hexane/ethyl acetate = 94:6. White solid, 15 mg, 52% yield, MP: 179-180 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.68 (s, 1H), 7.88 (d, *J* = 14.0 Hz, 1H), 7.57 – 7.44 (m, 3H), 7.35 – 7.21 (m, 4H), 7.14 (d, *J* = 7.5 Hz, 1H), 6.92 (t, *J* = 7.2 Hz, 1H), 6.45 – 6.29 (m, 1H), 5.30 – 5.15 (m, 1H), 5.04 (s, 1H), 4.97 – 4.82 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.0, 138.8, 135.8, 134.9, 132.6, 130.3, 129. 8, 129.6, 128.8, 127.7, 125.2, 122.5, 120.5, 116.1, 115.3, 111.7, 108.3. **ESI-HRMS (m/z):** calculated for $C_{19}H_{16}N_2ONa$ $[M+Na]^+$ 311.1160, found 311.1166.

2-phenyl-3-vinyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (P2)



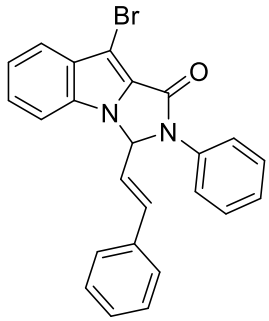
Eluent: Hexane/ethyl acetate = 94:6. White solid, 22 mg, 82% yield, MP: 174-177 °C. **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 7.8 Hz, 1H), 7.45 (d, *J* = 7.8 Hz, 2H), 7.36 (t, *J* = 7.6 Hz, 2H), 7.30 – 7.11 (m, 5H), 7.30 – 7.10 (m, 5H), 6.96 (s, 1H), 6.31 (d, *J* = 7.6 Hz, 1H), 5.81 – 5.48 (m, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.4, 135.8, 133.3, 133.0, 132.0, 131.1, 129.2, 126.4, 124.3, 124.1, 123.9, 123.7, 121.3, 110.4, 99.0, 74.6. **ESI-HRMS (m/z):** calculated for $C_{18}H_{15}N_2O$ $[M+H]^+$ 275.1184, found 275.1201.

3-phenethyl-2-phenyl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (5)



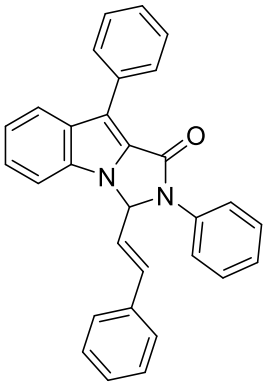
Eluent: Hexane/ethyl acetate = 90:10. White solid, 33 mg, 94% yield, MP: 122-123 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.75 (d, *J* = 8.1 Hz, 1H), 7.49 – 7.35 (m, 5H), 7.32 – 7.21 (m, 2H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.08 – 6.96 (m, 4H), 6.70 (d, *J* = 6.7 Hz, 2H), 6.36 (s, 1H), 2.59 – 2.48 (m, 1H), 2.40 – 2.21 (m, 2H), 1.89 – 1.79 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159. 7, 139.7, 135.5, 132.6, 132.2, 132.0, 129.6, 128.4, 128.1, 126.6, 126.1, 124.4, 123.9, 123.8, 121.3, 110.0, 98.8, 71.9, 33.2, 26.7. **ESI-HRMS (m/z):** calculated for $C_{24}H_{21}N_2O$ $[M+H]^+$ 353.1654, found 353.1618.

(*E*)-9-bromo-2-phenyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (6)



Eluent: Hexane/ethyl acetate = 92:8. White solid, 39 mg, 92% yield, MP: 151-153 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.67 (d, *J* = 7.7 Hz, 1H), 7.49 (d, *J* = 7.7 Hz, 2H), 7.37 – 7.32 (m, 2H), 7.25 (m, 730 – 7.21Hz, 8H), 7.16 (d, *J* = 7.4 Hz, 1H), 7.02 (d, *J* = 15.7 Hz, 1H), 6.49 (d, *J* = 8.6 Hz, 1H), 5.95 (dd, *J* = 15.7, 8.6 Hz, 1H).**^{13}C NMR** (101 MHz, $CDCl_3$) δ 138.9, 129.4, 129.3, 128.9, 127.2, 126.5, 125.4, 123.8, 123.3, 121.9, 121.8, 110.7, 74.6. **ESI-HRMS (m/z):** calculated for $C_{24}H_{18}BrN_2O$ $[M+H]^+$ 429.0603, found 429.0607.

(*E*)-2,9-diphenyl-3-styryl-2,3-dihydro-1H-imidazo[1,5-a]indol-1-one (7)



Eluent: Hexane/ethyl acetate = 92:8. White solid, 20 mg, 52% yield, MP: 169-171 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.01 (d, *J* = 6.3 Hz, 1H), 7.92 (d, *J* = 3.7 Hz, 2H), 7.56 – 7.41 (m, 5H), 7.38 – 7.21 (m, 11H), 7.06 (d, *J* = 15.6 Hz, 1H), 6.60 (d, *J* = 7.5 Hz, 1H), 6.03 (dd, *J* = 15.6, 7.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.4, 138.6, 136.0, 134.6, 133.04, 132.3, 130.4, 129.5, 129.3, 129.2, 128.8, 128.6, 127.2, 126.3, 124.8, 123.8, 122.8, 121.6, 116.7, 110.6, 74.25. **ESI-HRMS (m/z):** calculated for $C_{30}H_{23}N_2O$ $[M+H]^+$ 427.1810, found 427.1827.

9. X-ray crystal structure and data of 2a (CCDC 2259848):

X-ray crystal structure of 2a (CCDC 2259848):

Using the slow evaporation method, the solid was recrystallized in toluene at room temperature to produce crystal (**2a**), appropriate for X-ray crystallography.

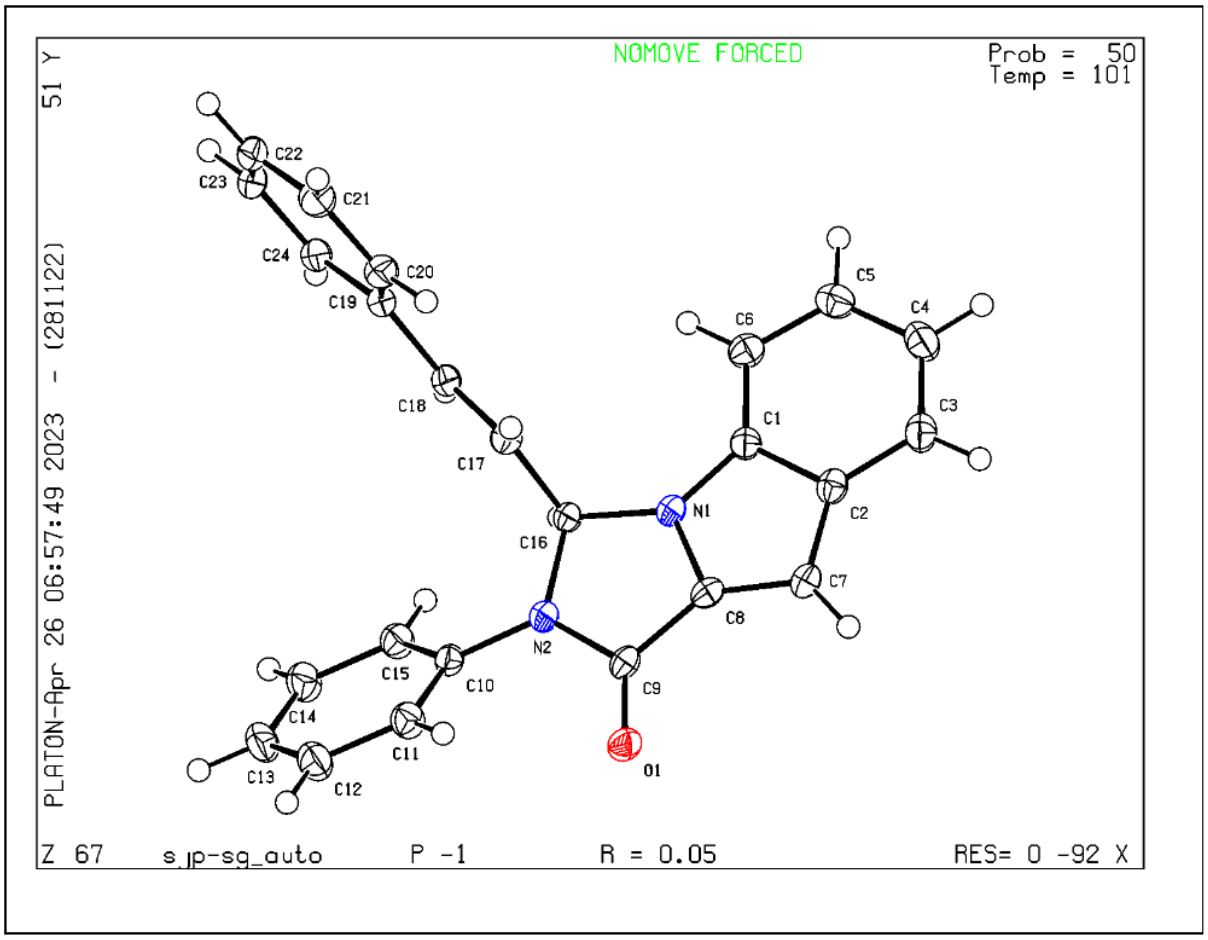


Figure: ORTEP view **2a** with thermal ellipsoids drawn as 50% probability level

X-ray crystallography data of 2a (CCDC 2259848):

Table S7 Crystal data and structure refinement for New SJP-SG_auto.

Identification code	New SJP-SG_auto
Empirical formula	$C_{24}H_{18}N_2O$
Formula weight	350.40
Temperature/K	101(1)
Crystal system	triclinic
Space group	P-1
a/Å	6.3722(5)
b/Å	13.4572(11)
c/Å	14.9777(14)
α/°	66.406(8)
β/°	89.765(7)
γ/°	84.766(6)
Volume/Å^3	1171.36(19)
Z	2
ρ_{calc}g/cm^3	0.993
μ/mm^{-1}	0.061
F(000)	368.0
Crystal size/mm^3	0.21 × 0.2 × 0.18
Radiation	Mo Kα (λ = 0.71073)
2Θ range for data collection/°	6.938 to 62.056
Index ranges	$-8 \leq h \leq 8$, $-17 \leq k \leq 19$, $-21 \leq l \leq 21$
Reflections collected	17739
Independent reflections	5903 [R_{int} = 0.0382, R_{sigma} = 0.0435]
Data/restraints/parameters	5903/0/244
Goodness-of-fit on F^2	1.074
Final R indexes [I>=2σ (I)]	R_1 = 0.0492, wR_2 = 0.1481
Final R indexes [all data]	R_1 = 0.0617, wR_2 = 0.1556
Largest diff. peak/hole / e Å^{-3}	0.30/-0.28

Table S8 Fractional Atomic Coordinates (×10^4) and Equivalent Isotropic Displacement Parameters (Å^2×10^3) for New SJP-SG_auto. U_{eq} is defined as 1/3 of the trace of the orthogonalised U_{IJ} tensor.

Atom	*x*	*y*	*z*	U(eq)
N2	1154.5(17)	5126.8(9)	2260.4(8)	18.9(2)
O1	4623.2(14)	4579.5(8)	2037.9(7)	22.2(2)
C15	-798(2)	4155.5(11)	3706.2(10)	23.0(3)
C18	-3396(2)	6854.3(10)	2182.6(9)	18.6(3)
C10	1061(2)	4587.0(10)	3291.7(9)	19.0(3)
C20	-3201(2)	8663.2(11)	2283.6(10)	22.7(3)
C22	-6034(2)	9413.6(12)	2969.1(10)	26.8(3)
C19	-4273(2)	7753.6(10)	2432.6(9)	18.7(3)
C7	2787(2)	5945.2(11)	-250.3(10)	20.3(3)
N1	92.1(17)	6050.0(9)	689.7(8)	19.1(2)
C24	-6264(2)	7698.2(11)	2844.5(9)	21.4(3)
C16	-752(2)	5749.0(10)	1655.6(9)	17.3(3)
C23	-7138(2)	8521.4(12)	3109.0(10)	25.5(3)
C2	1015(2)	6552.3(11)	-864.2(10)	20.1(3)
C6	-2659(2)	7134.8(11)	-623.8(10)	23.1(3)
C1	-680(2)	6602.5(10)	-252.0(9)	18.8(3)
C11	2794(2)	4497.5(11)	3893.3(10)	23.6(3)
C3	670(2)	7065.1(12)	-1880.8(10)	25.3(3)
C17	-1482(2)	6725.3(11)	1865.3(9)	19.3(3)
C5	-2947(2)	7612.8(12)	-1627.4(11)	26.7(3)
C12	2667(2)	3980.6(13)	4899.2(10)	28.7(3)
C9	2879(2)	5054.2(10)	1710.7(9)	17.8(3)
C14	-901(2)	3644.9(12)	4713.2(10)	27.7(3)
C8	2166(2)	5657.0(10)	688.0(10)	18.8(3)
C21	-4064(2)	9485.3(12)	2552.7(11)	27.1(3)
C4	-1298(3)	7581.5(12)	-2249.2(10)	28.6(3)
C13	823(2)	3553.6(13)	5315.8(11)	29.4(3)

Table S9 Anisotropic Displacement Parameters ($Å^2 \times 10^3$) for New SJP-SG_auto. The Anisotropic displacement factor exponent takes the form: $-2\pi^2[h^2a^{*2}U_{11}+2hka^*b^*U_{12}+\ldots]$.

Atom	U_{11}	U_{22}	U_{33}	U_{23}	U_{13}	U_{12}
N2	17.0(5)	21.4(5)	17.5(5)	-7.4(4)	2.7(4)	-0.6(4)
O1	16.6(5)	26.8(5)	23.9(5)	-11.4(4)	1.0(4)	0.3(4)
C15	20.4(7)	25.6(7)	22.1(7)	-8.6(6)	2.0(5)	-2.5(5)
C18	20.0(6)	18.6(6)	16.2(6)	-5.7(5)	2.5(5)	-2.1(5)
C10	22.4(7)	17.4(6)	16.8(6)	-6.5(5)	4.2(5)	-1.1(5)
C20	21.7(7)	22.9(6)	22.4(6)	-8.4(5)	1.4(5)	-1.1(5)
C22	38.4(8)	23.1(7)	18.9(6)	-10.0(6)	1.0(6)	5.1(6)
C19	20.4(6)	19.3(6)	15.1(6)	-6.0(5)	1.0(5)	0.7(5)
C7	18.6(6)	23.0(6)	21.3(6)	-11.0(5)	4.5(5)	-2.4(5)
N1	16.8(5)	21.9(5)	18.1(5)	-8.1(4)	3.5(4)	0.1(4)
C24	22.2(7)	20.9(6)	18.1(6)	-5.2(5)	3.8(5)	-0.5(5)
C16	15.2(6)	19.7(6)	15.9(6)	-6.3(5)	2.9(4)	-0.8(5)
C23	26.6(7)	27.9(7)	18.7(6)	-7.2(6)	6.3(5)	3.7(6)
C2	23.0(7)	19.0(6)	21.1(6)	-10.3(5)	4.2(5)	-5.3(5)
C6	21.4(7)	22.5(6)	25.8(7)	-10.1(6)	1.9(5)	-2.4(5)
C1	22.1(6)	16.5(6)	18.4(6)	-7.3(5)	2.5(5)	-3.3(5)
C11	22.1(7)	25.4(7)	22.2(6)	-7.9(6)	3.2(5)	-4.1(5)
C3	30.8(8)	25.4(7)	20.8(6)	-10.1(6)	5.6(5)	-4.7(6)
C17	18.7(6)	19.8(6)	20.2(6)	-8.3(5)	2.2(5)	-3.7(5)
C5	26.6(7)	24.9(7)	26.9(7)	-9.2(6)	-4.3(6)	0.0(6)
C12	27.2(7)	35.1(8)	21.1(7)	-8.9(6)	-1.3(5)	-1.0(6)
C9	16.0(6)	18.9(6)	21.3(6)	-10.7(5)	4.3(5)	-4.1(5)
C14	25.4(7)	30.8(7)	22.5(7)	-5.9(6)	6.4(5)	-4.7(6)
C8	15.3(6)	19.0(6)	23.5(7)	-10.0(5)	2.7(5)	-1.1(5)
C21	35.4(8)	21.7(7)	25.4(7)	-10.9(6)	-1.1(6)	-2.1(6)
C4	37.1(8)	27.1(7)	19.7(7)	-7.5(6)	-2.2(6)	-2.8(6)
C13	31.4(8)	33.1(8)	17.8(6)	-4.4(6)	3.1(6)	-1.6(6)

Table S10 Bond Lengths for New SJP-SG_auto.

Atom	Atom	Length/Å	Atom	Atom	Length/Å
N2	C10	1.4235(16)	N1	C16	1.4529(16)
N2	C16	1.4879(16)	N1	C1	1.3723(17)
N2	C9	1.3902(16)	N1	C8	1.3785(17)
O1	C9	1.2278(16)	C24	C23	1.3898(19)
C15	C10	1.3984(19)	C16	C17	1.5028(18)
C15	C14	1.389(2)	C2	C1	1.4281(18)
C18	C19	1.4680(18)	C2	C3	1.4060(19)
C18	C17	1.3294(18)	C6	C1	1.3913(19)
C10	C11	1.3944(19)	C6	C5	1.383(2)
C20	C19	1.3955(19)	C11	C12	1.389(2)
C20	C21	1.390(2)	C3	C4	1.378(2)
C22	C23	1.390(2)	C5	C4	1.410(2)
C22	C21	1.394(2)	C12	C13	1.390(2)
C19	C24	1.4031(18)	C9	C8	1.4679(18)
C7	C2	1.4237(19)	C14	C13	1.390(2)
C7	C8	1.3671(18)			

Table S11 Bond Angles for New SJP-SG_auto.

Atom	Atom	Atom	Angle/°	Atom	Atom	Atom	Angle/°
C10	N2	C16	120.82(10)	C7	C2	C1	107.72(11)
C9	N2	C10	125.62(11)	C3	C2	C7	133.61(12)
C9	N2	C16	113.27(10)	C3	C2	C1	118.67(12)
C14	C15	C10	119.71(13)	C5	C6	C1	117.11(13)
C17	C18	C19	127.19(12)	N1	C1	C2	106.27(11)
C15	C10	N2	119.70(12)	N1	C1	C6	131.25(12)
C11	C10	N2	120.62(12)	C6	C1	C2	122.48(12)
C11	C10	C15	119.67(12)	C12	C11	C10	119.89(13)
C21	C20	C19	120.91(13)	C4	C3	C2	118.85(13)
C23	C22	C21	119.81(13)	C18	C17	C16	122.05(12)
C20	C19	C18	122.67(12)	C6	C5	C4	121.59(13)
C20	C19	C24	118.36(12)	C11	C12	C13	120.72(14)
C24	C19	C18	118.97(12)	N2	C9	C8	105.78(10)
C8	C7	C2	106.56(11)	O1	C9	N2	125.71(12)
C1	N1	C16	136.07(11)	O1	C9	C8	128.51(11)
C1	N1	C8	109.65(11)	C15	C14	C13	120.82(14)
C8	N1	C16	114.21(11)	C7	C8	N1	109.80(12)
C23	C24	C19	120.93(13)	C7	C8	C9	143.20(12)
N2	C16	C17	111.74(11)	N1	C8	C9	106.98(11)
N1	C16	N2	99.74(9)	C20	C21	C22	120.04(14)
N1	C16	C17	112.38(11)	C3	C4	C5	121.28(13)
C24	C23	C22	119.94(13)	C12	C13	C14	119.18(13)

Table S12 Torsion Angles for New SJP-SG_auto.

A	B	C	D	Angle/°	A	B	C	D	Angle/°
N2	C10	C11	C12	179.15(12)	C2	C7	C8	N1	0.28(15)
N2	C16	C17	C18	-120.67(13)	C2	C7	C8	C9	178.18(17)
N2	C9	C8	C7	-177.74(18)	C2	C3	C4	C5	0.7(2)
N2	C9	C8	N1	0.19(14)	C6	C5	C4	C3	0.5(2)
O1	C9	C8	C7	2.5(3)	C1	N1	C16	N2	178.05(14)
O1	C9	C8	N1	-179.54(13)	C1	N1	C16	C17	-63.45(19)
C15	C10	C11	C12	0.0(2)	C1	N1	C8	C7	0.08(15)
C15	C14	C13	C12	0.0(2)	C1	N1	C8	C9	-178.60(10)
C18	C19	C24	C23	178.81(12)	C1	C2	C3	C4	-1.1(2)
C10	N2	C16	N1	-175.47(11)	C1	C6	C5	C4	-1.2(2)
C10	N2	C16	C17	65.56(15)	C11	C12	C13	C14	0.3(2)
C10	N2	C9	O1	-5.7(2)	C3	C2	C1	N1	-179.55(12)
C10	N2	C9	C8	174.59(12)	C3	C2	C1	C6	0.3(2)
C10	C15	C14	C13	-0.2(2)	C17	C18	C19	C20	5.5(2)
C10	C11	C12	C13	-0.3(2)	C17	C18	C19	C24	-174.01(13)
C20	C19	C24	C23	-0.77(19)	C5	C6	C1	N1	-179.36(13)
C19	C18	C17	C16	178.54(12)	C5	C6	C1	C2	0.8(2)
C19	C20	C21	C22	-0.6(2)	C9	N2	C10	C15	-141.12(13)
C19	C24	C23	C22	-0.2(2)	C9	N2	C10	C11	39.77(19)
C7	C2	C1	N1	0.57(14)	C9	N2	C16	N1	-1.30(13)
C7	C2	C1	C6	-179.57(12)	C9	N2	C16	C17	-120.27(12)
C7	C2	C3	C4	178.79(14)	C14	C15	C10	N2	-178.92(12)
N1	C16	C17	C18	128.15(13)	C14	C15	C10	C11	0.2(2)
C16	N2	C10	C15	32.29(18)	C8	C7	C2	C1	-0.52(15)
C16	N2	C10	C11	-146.81(13)	C8	C7	C2	C3	179.63(15)
C16	N2	C9	O1	-179.52(12)	C8	N1	C16	N2	1.43(14)
C16	N2	C9	C8	0.74(14)	C8	N1	C16	C17	119.93(12)
C16	N1	C1	C2	-177.13(14)	C8	N1	C1	C2	-0.40(14)
C16	N1	C1	C6	3.0(3)	C8	N1	C1	C6	179.75(14)
C16	N1	C8	C7	177.59(11)	C21	C20	C19	C18	-178.38(13)
C16	N1	C8	C9	-1.09(15)	C21	C20	C19	C24	1.2(2)
C23	C22	C21	C20	-0.4(2)	C21	C22	C23	C24	0.8(2)

Table S13 Hydrogen Atom Coordinates (Å×10^4) and Isotropic Displacement Parameters (Å^2×10^3) for New SJP-SG_auto.

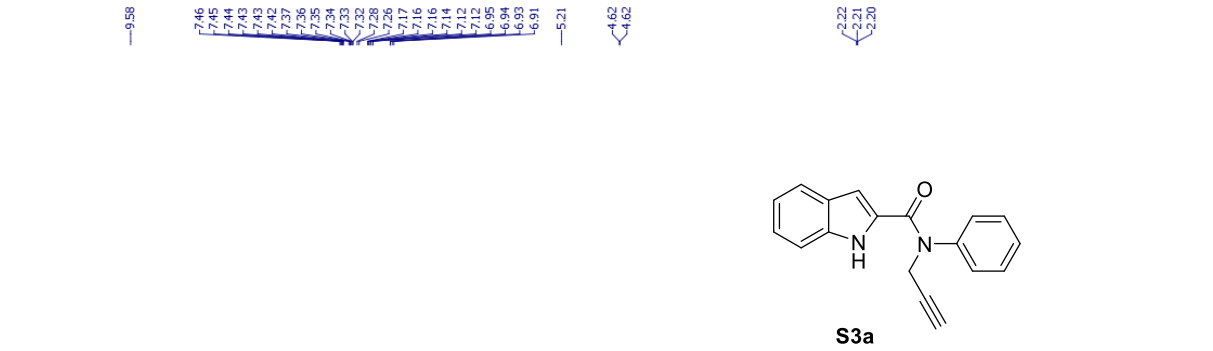
Atom	*x*	*y*	*z*	U(eq)
H15	-1983.84	4211.46	3300.86	28
H18	-4296.79	6303.55	2255.62	22
H20	-1863.62	8721.44	1994.18	27
H22	-6620.57	9972.92	3156.87	32
H7	4136.5	5773.85	-452.94	24
H24	-7024.53	7089.42	2943.88	26
H16	-1912.54	5267.85	1747.82	21
H23	-8489.47	8474.33	3385.23	31
H6	-3764.76	7168.71	-206.48	28
H11	4060.81	4789.38	3615.97	28
H3	1774.08	7055.86	-2306.3	30
H17	-545.44	7267.13	1768.07	23
H5	-4288.32	7970.61	-1904.54	32
H12	3853.75	3918.44	5306.61	34
H14	-2166.7	3354.48	4993.55	33
H21	-3309.41	10096.37	2452.74	32
H4	-1547.71	7923.07	-2935.26	34
H13	741.26	3203.52	6004.04	35

10. References:

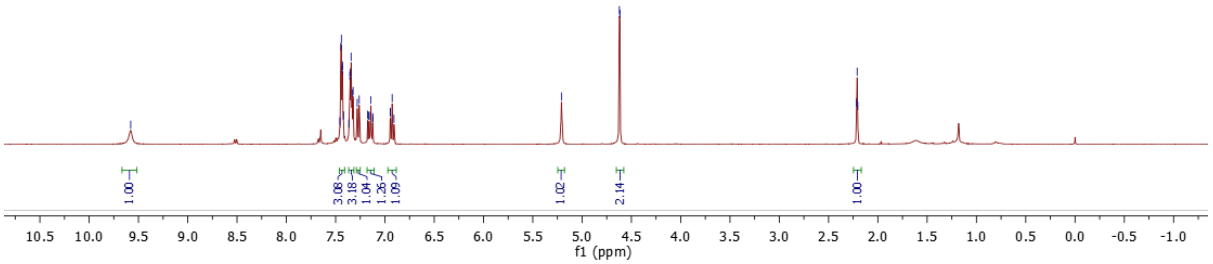
1. A. Mathuri, M. Pramanik, P. Mal, *J. Org. Chem.,* 2022, **87**, 6812–6823.
2. H. Mizoguchi, R. Watanabe, S. Minami, H. Oikawa, H**.** Oguri, *Org. Biomol. Chem.*, 2015, **13**, 5955–5963.
3. T. Szabó, M. Papp, D. R. Németh, D. András, B. Volk, M. Milen, *J. Org. Chem.*, 2021, **86**, 128–145.
4. E. M. Beccalli, A. Bernasconi, E. Borsini, G. Broggini, M. Rigamonti, G. *J.* Zecchi, *Org. Chem.,* 2010, **75**, 6923.
5. E. M. Beccalli, G. Broggini, M. Martinelli, G. Paladino, *Tetrahedron*, 2005, **61**, 1077-1082.
6. P. Zheng, C. Wang, Y. Chen, G. Dong, *ACS Catal.*, 2019, **9**, 5515–5521.
7. M. Giardinetti, X. Moreau, V. Coeffard, C. Greck, *Adv. Synth. Catal.*, 2015, **357**, 3501-35.
8. Y. A. Cheng, W. Z. Yu, Y.-Y. Yeung, *Angew. Chem., Int. Ed.,* 2015, **54**, 12102-12106.

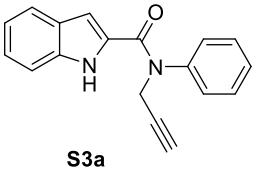
11. NMR spectra of starting materials

^{1}H and ^{13}C spectra of **S3a**



S3a

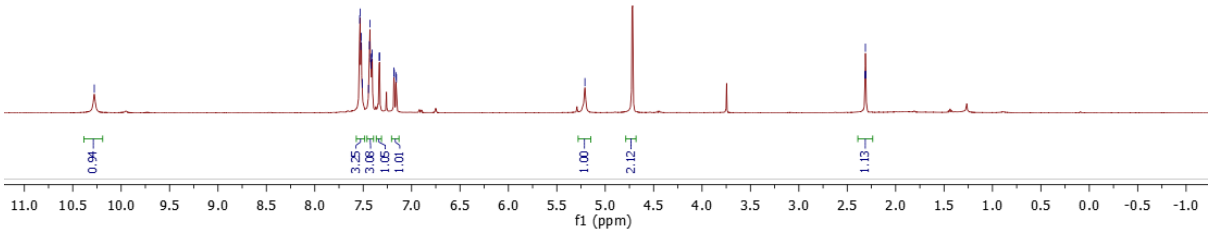


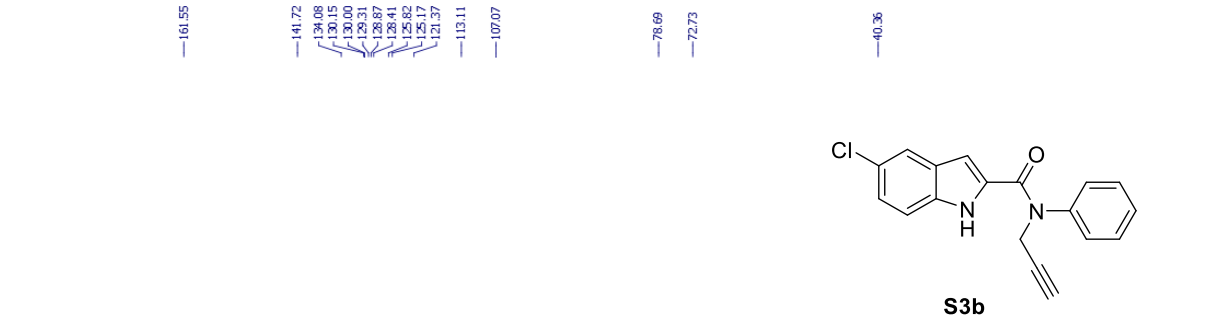


S3a

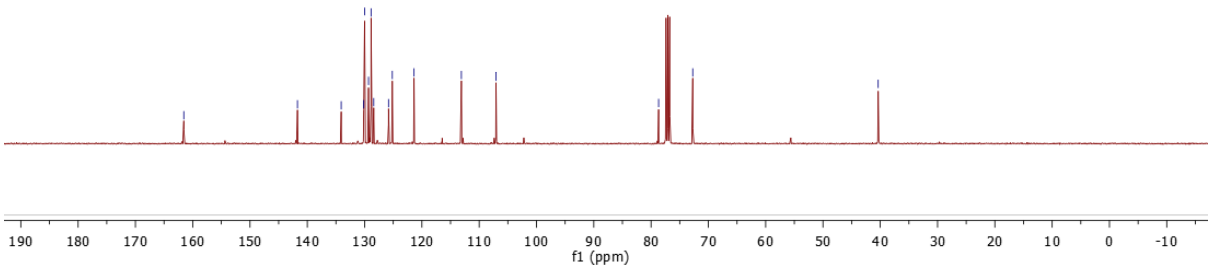
^{1}H and ^{13}C spectra of **S3b**

S3b

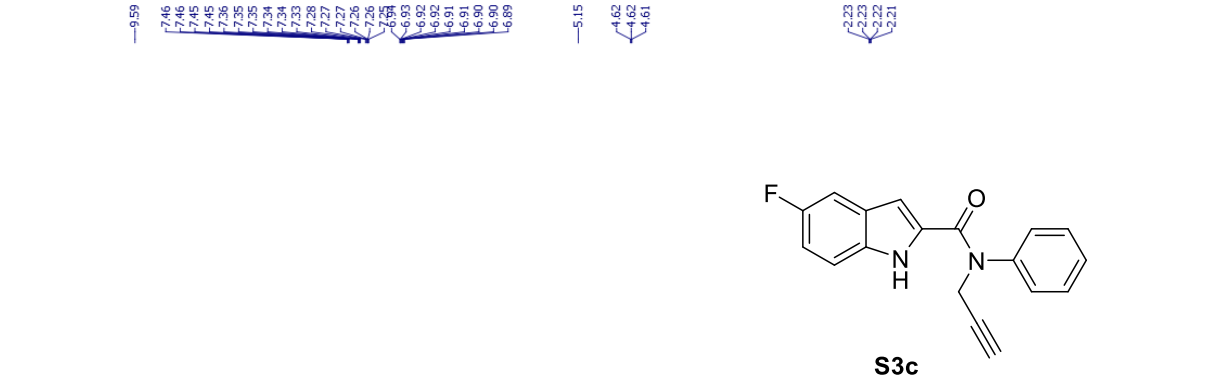




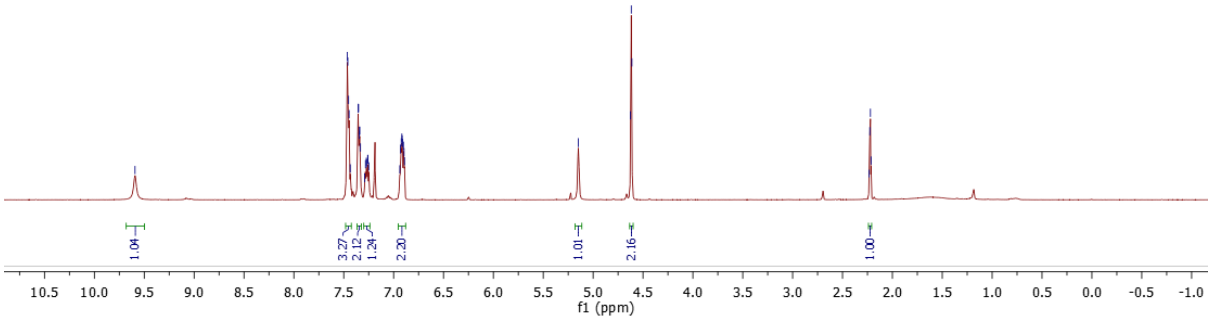
S3b

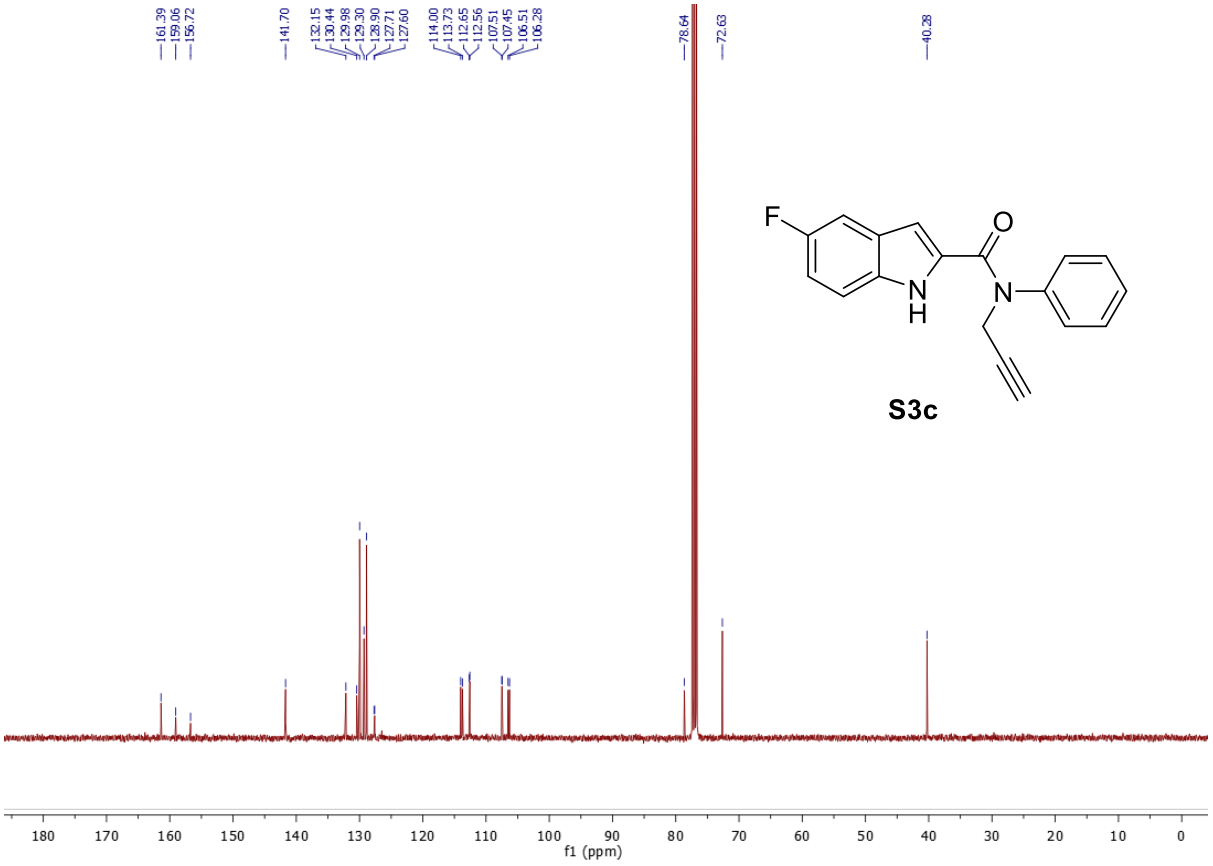


^{1}H and ^{13}C spectra of **S3c**



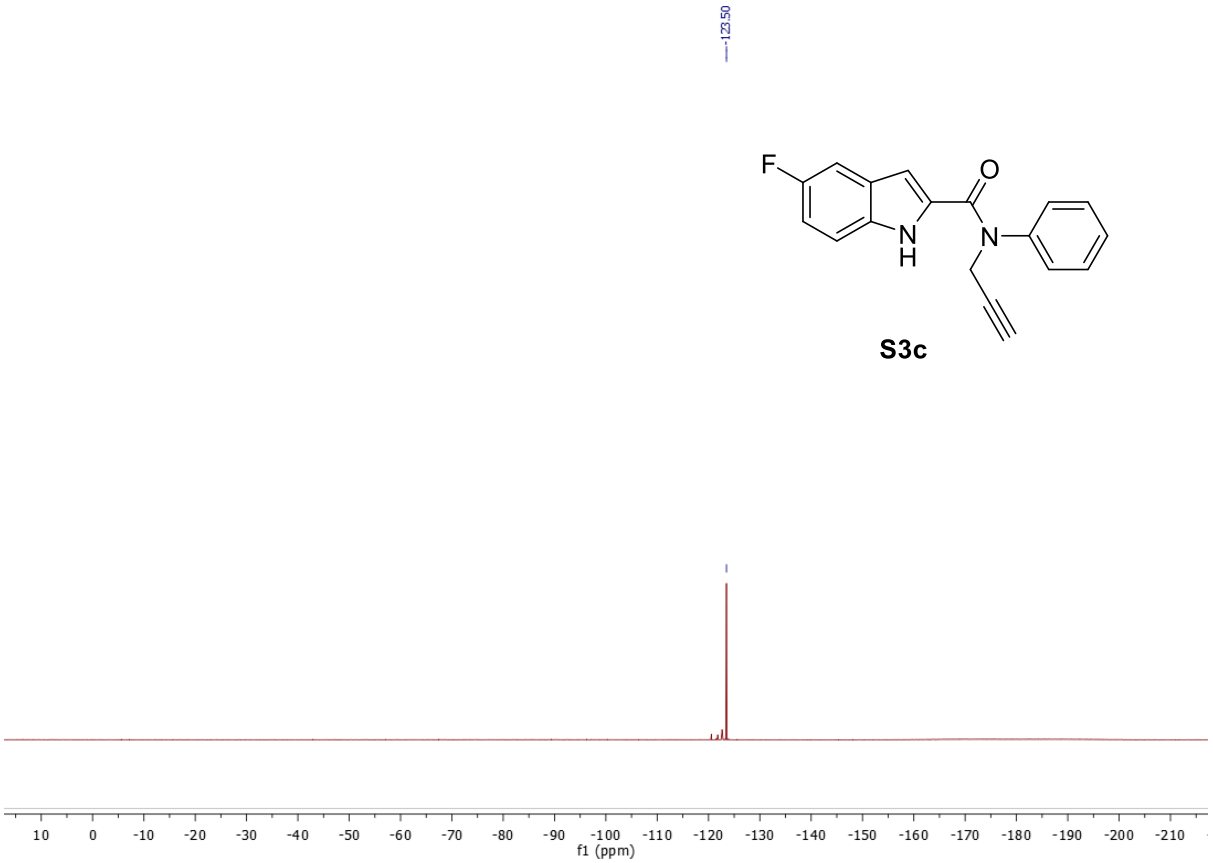
S3c



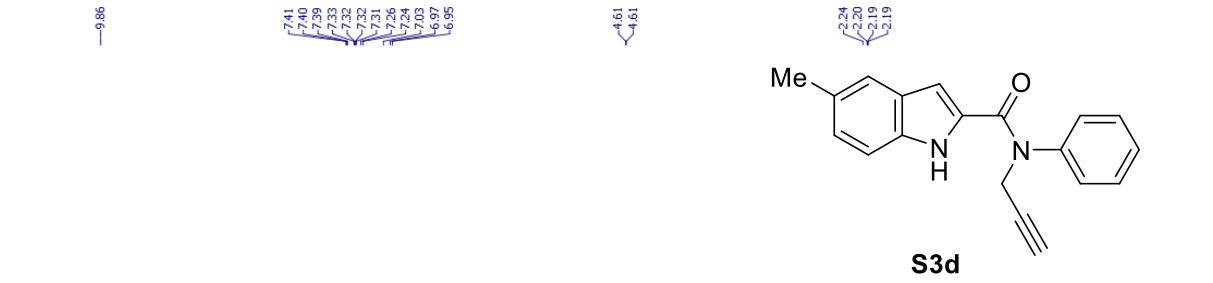


S3c

^{19}F spectra of **S3c**



^{1}H and ^{13}C spectra of **S3d**



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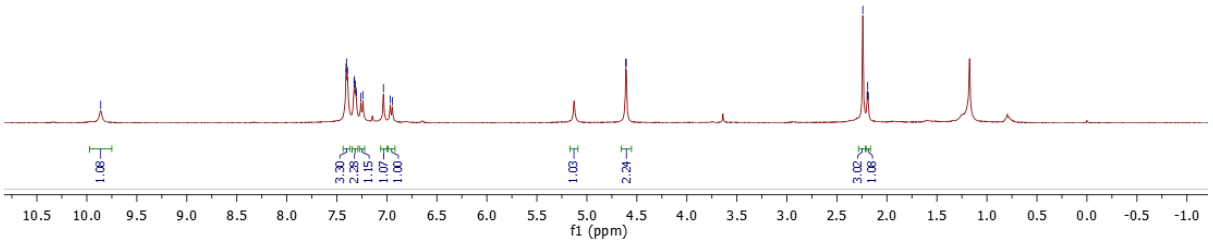

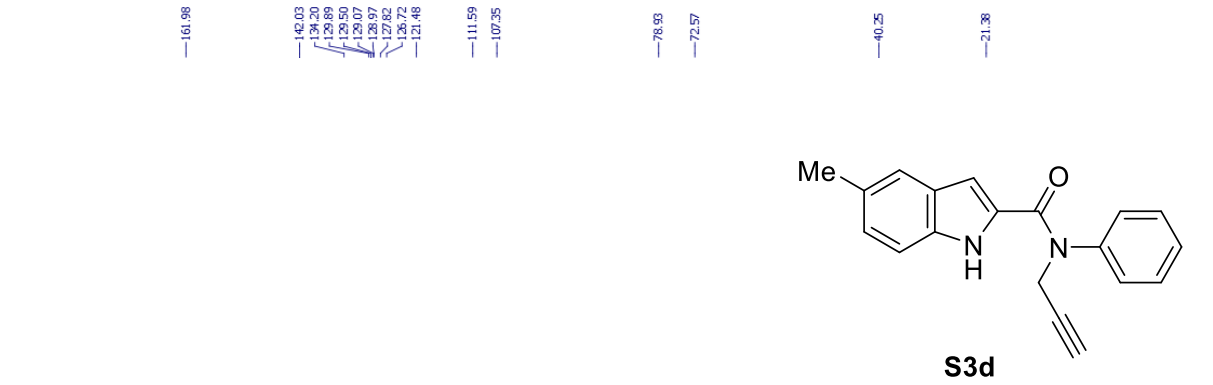

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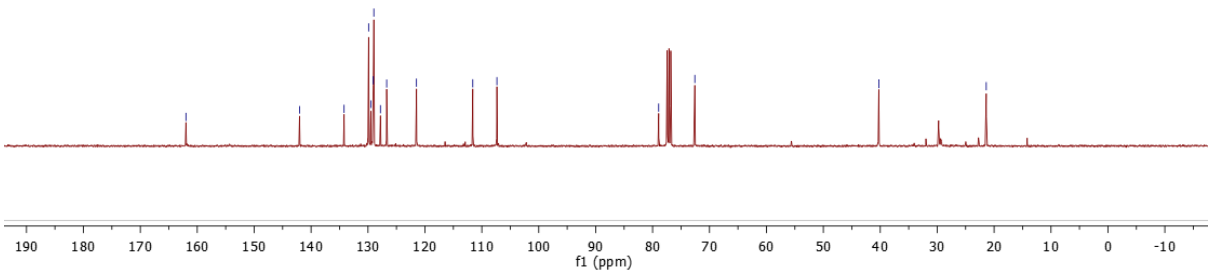
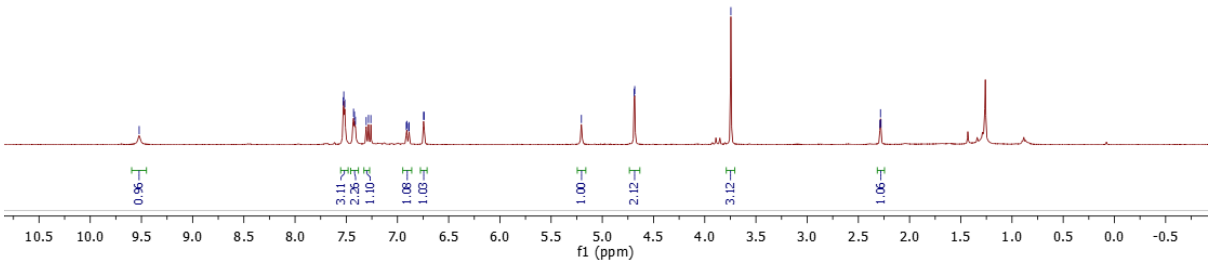
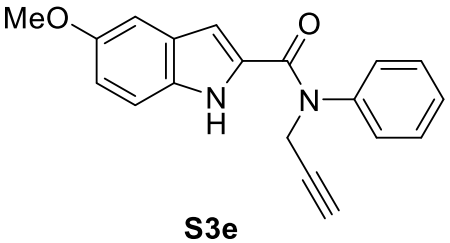


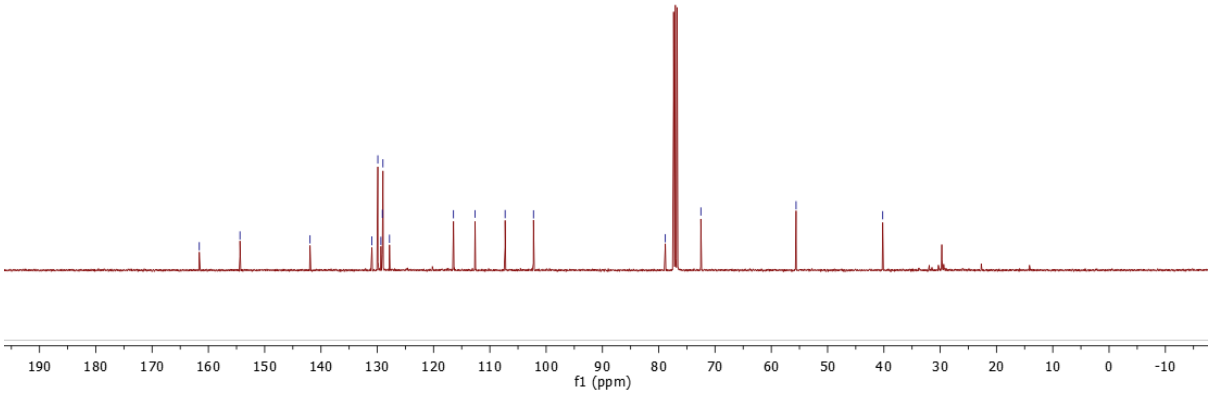
^{1}H and ^{13}C spectra of **S3e**

S3e



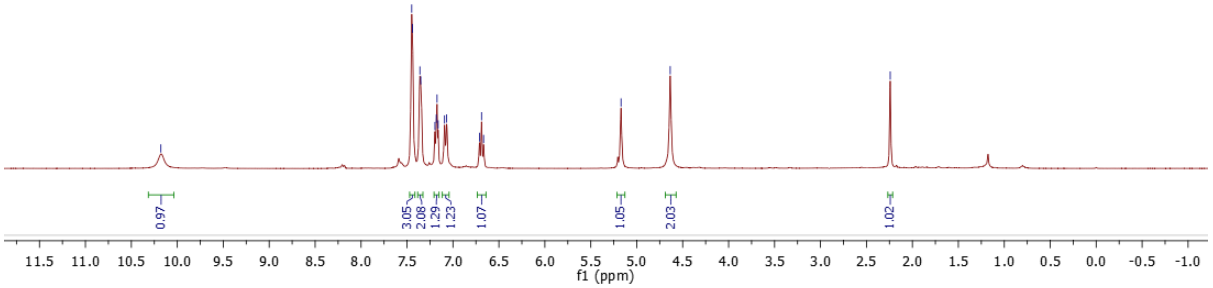


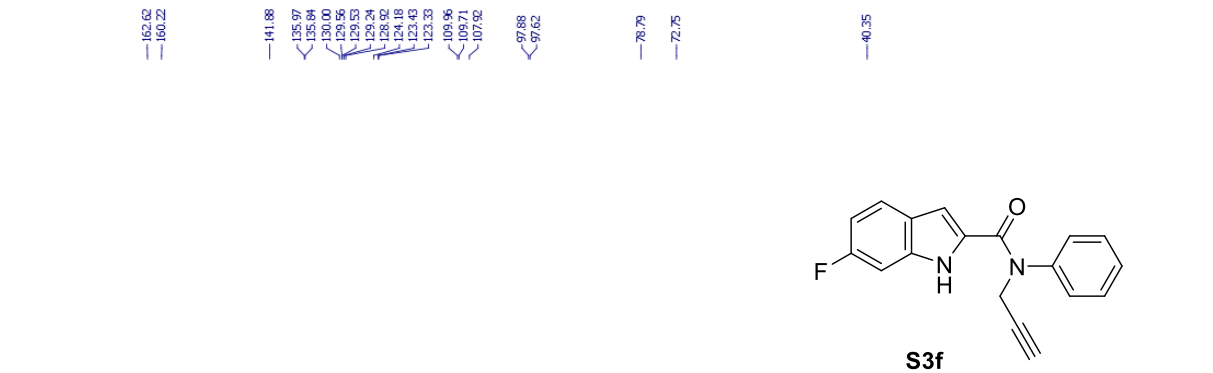
S3e



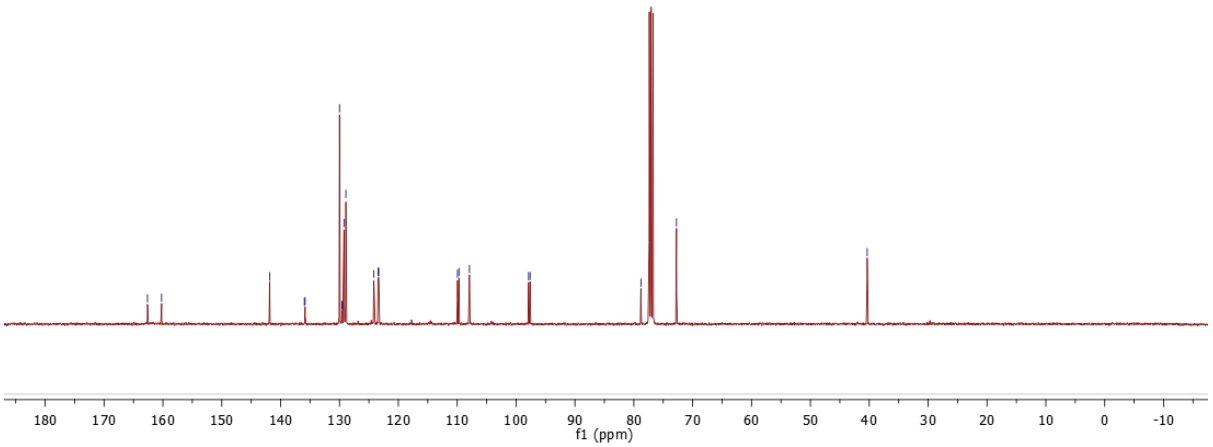
^{1}H and ^{13}C spectra of **S3f**

S3f

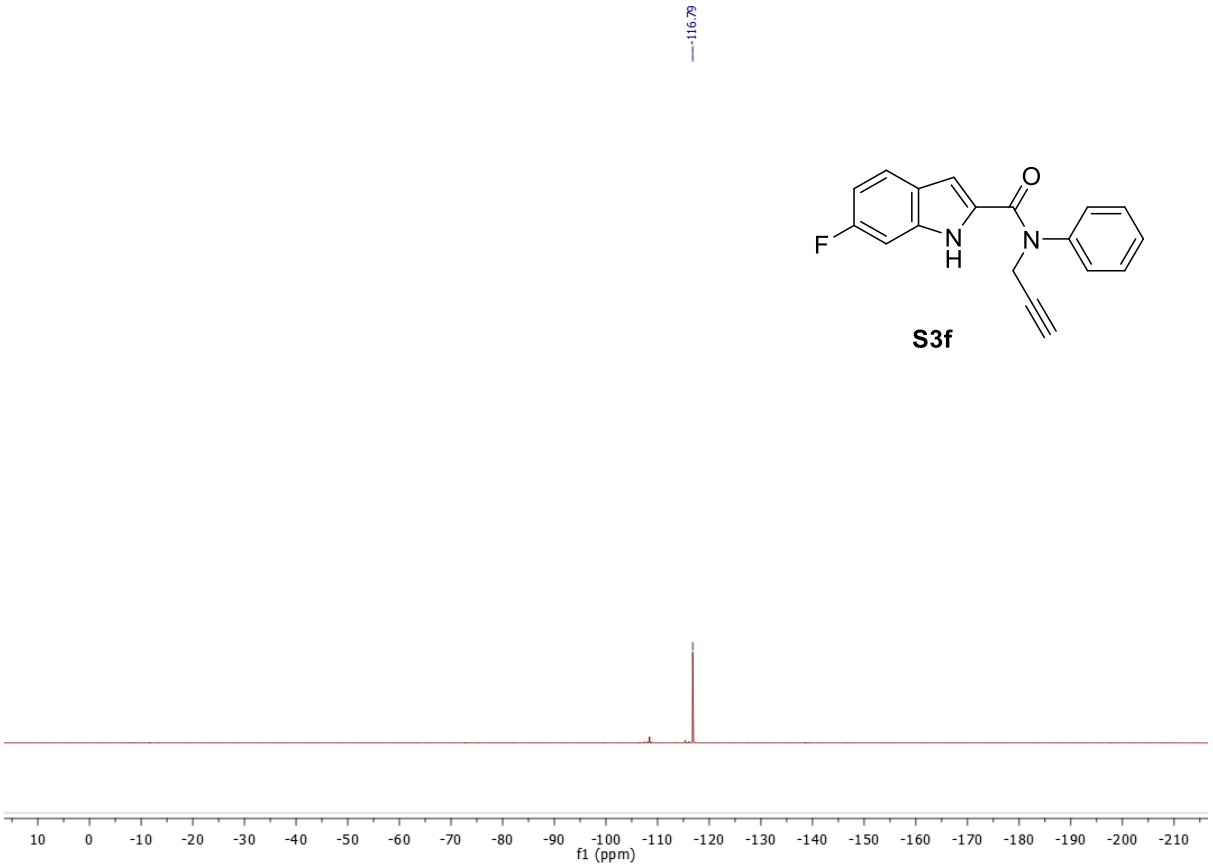




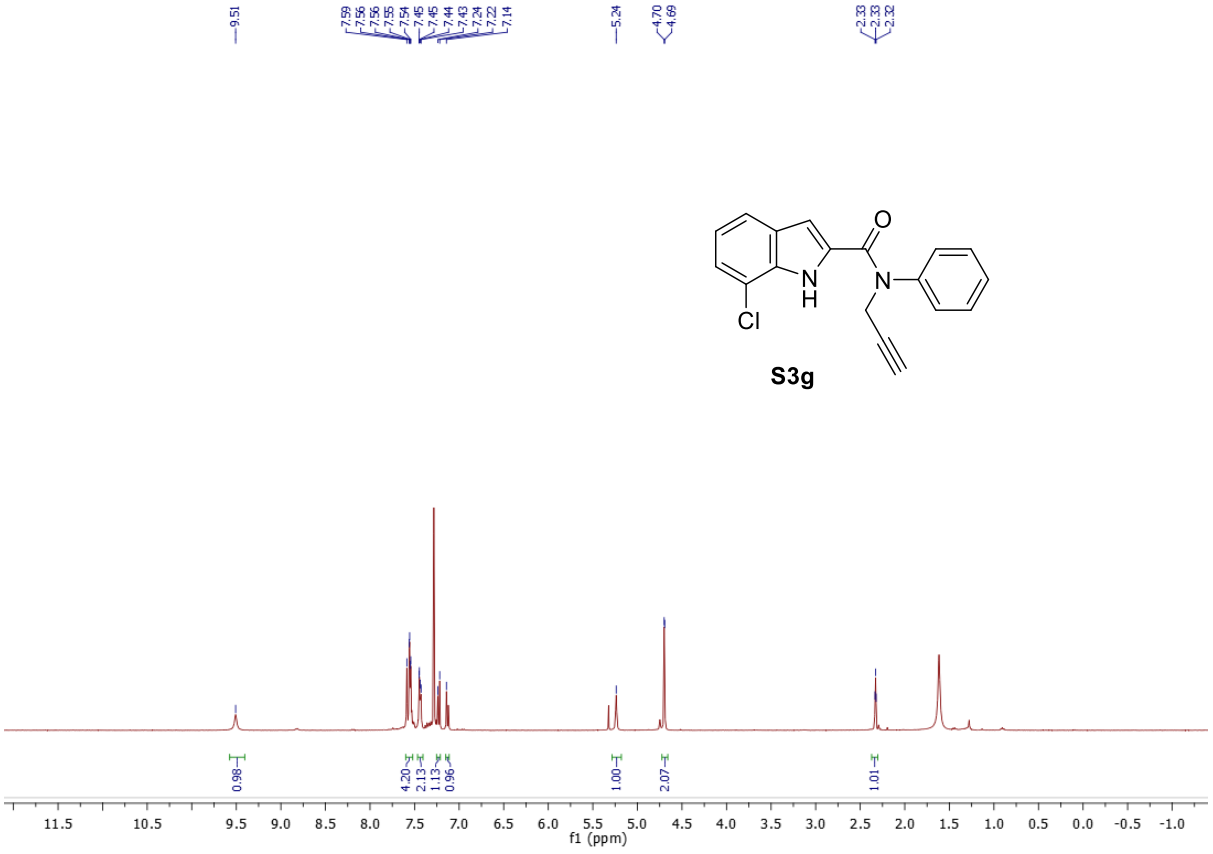
S3f

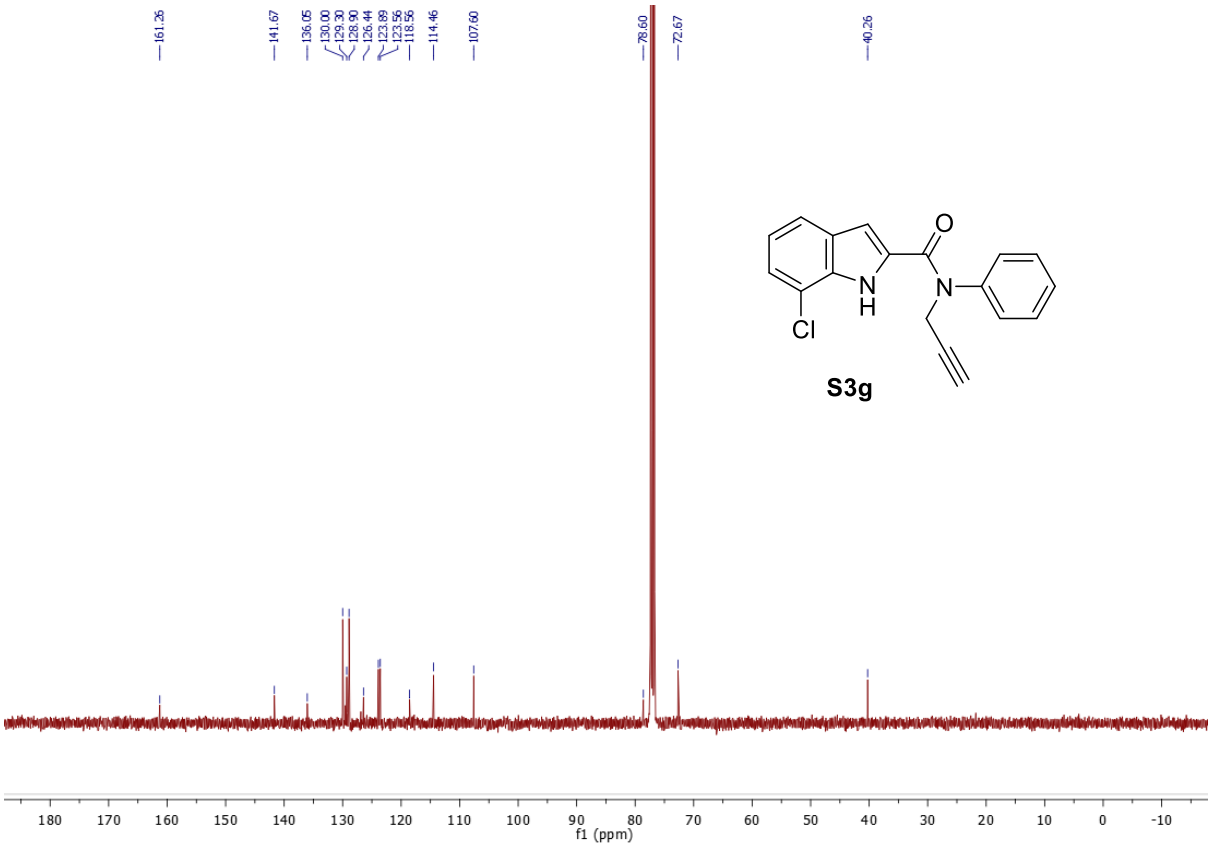


^{19}F spectra of **S3f**

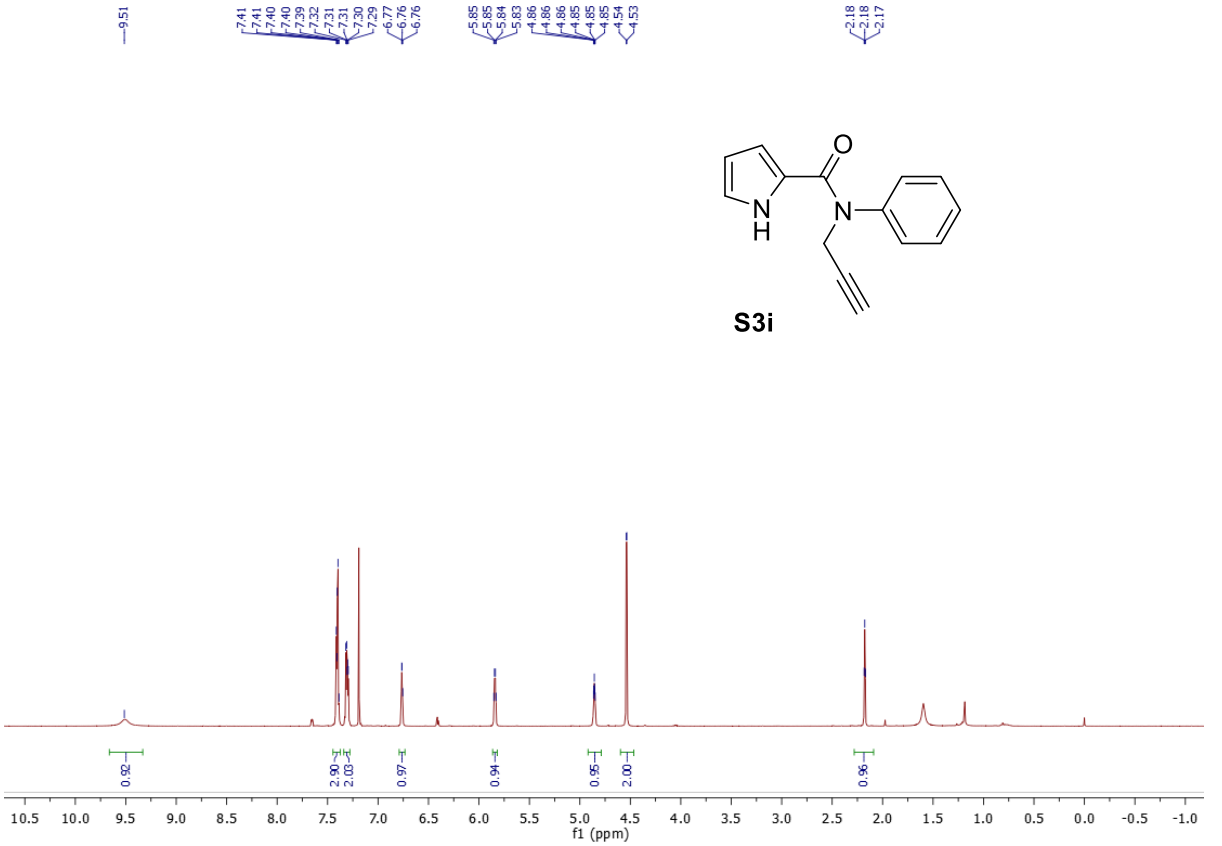


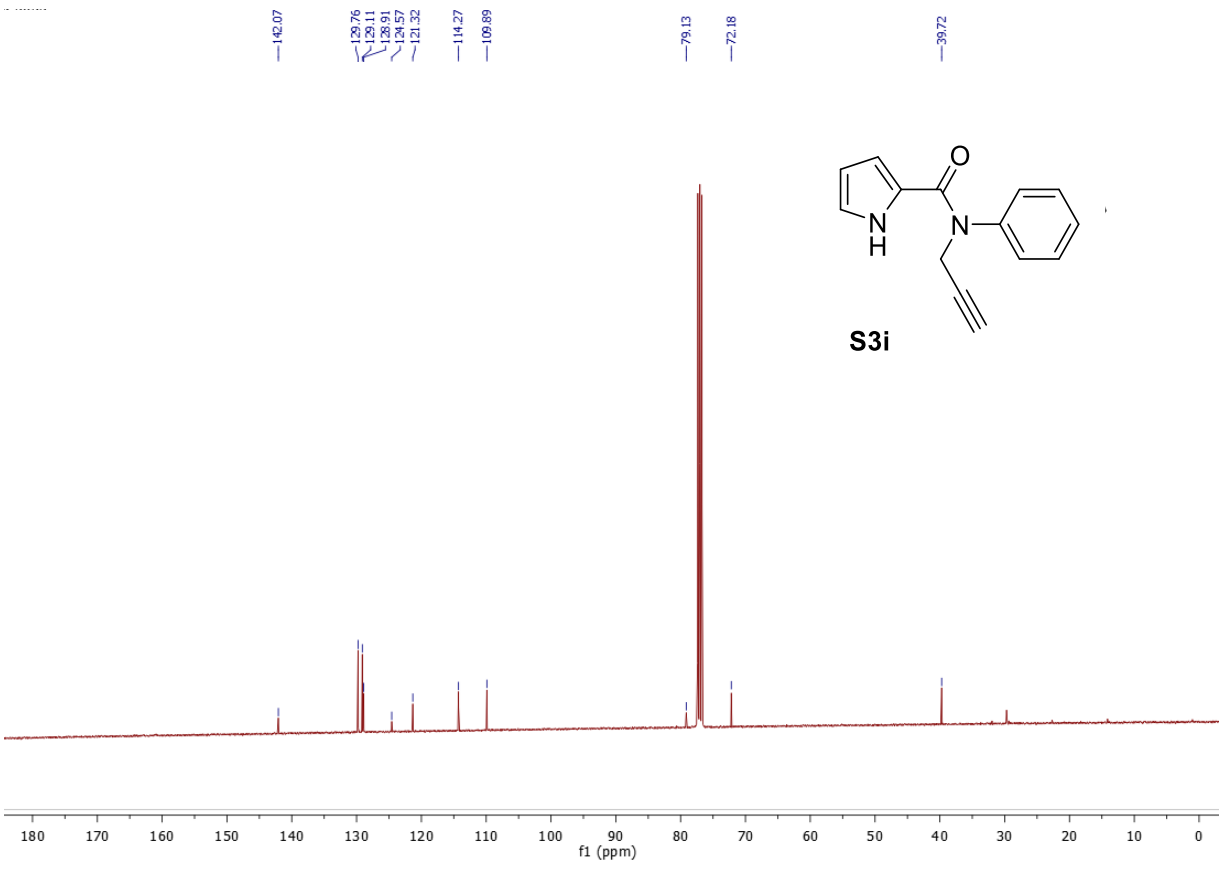
^{1}H and ^{13}C spectra of **S3g**



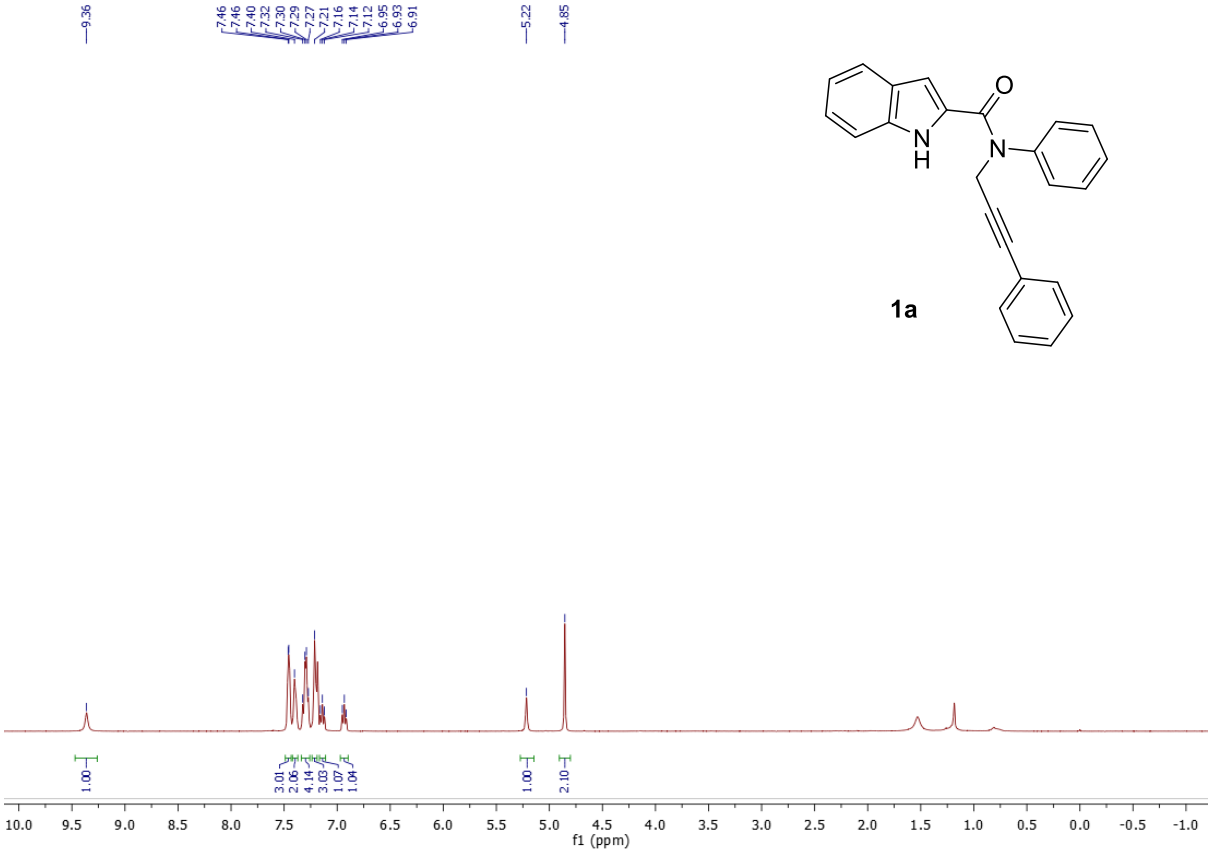


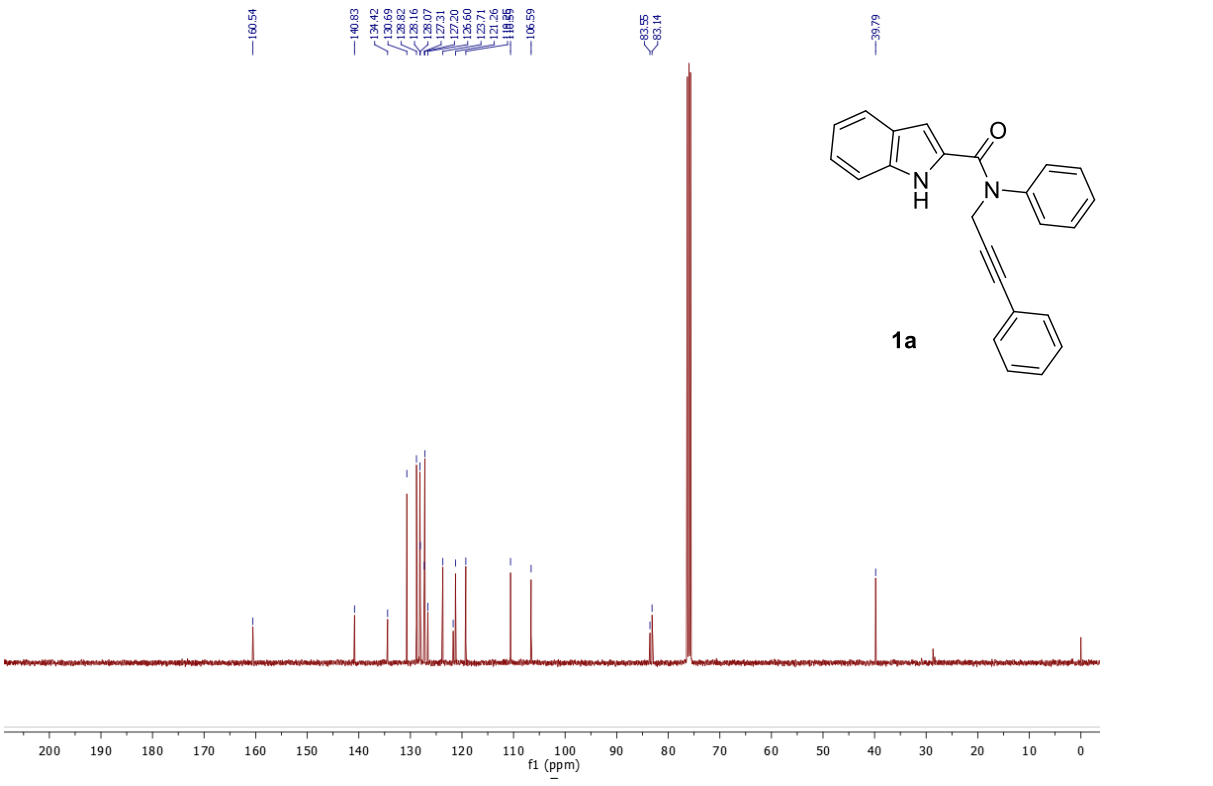
^{1}H and ^{13}C spectra of **S3i**



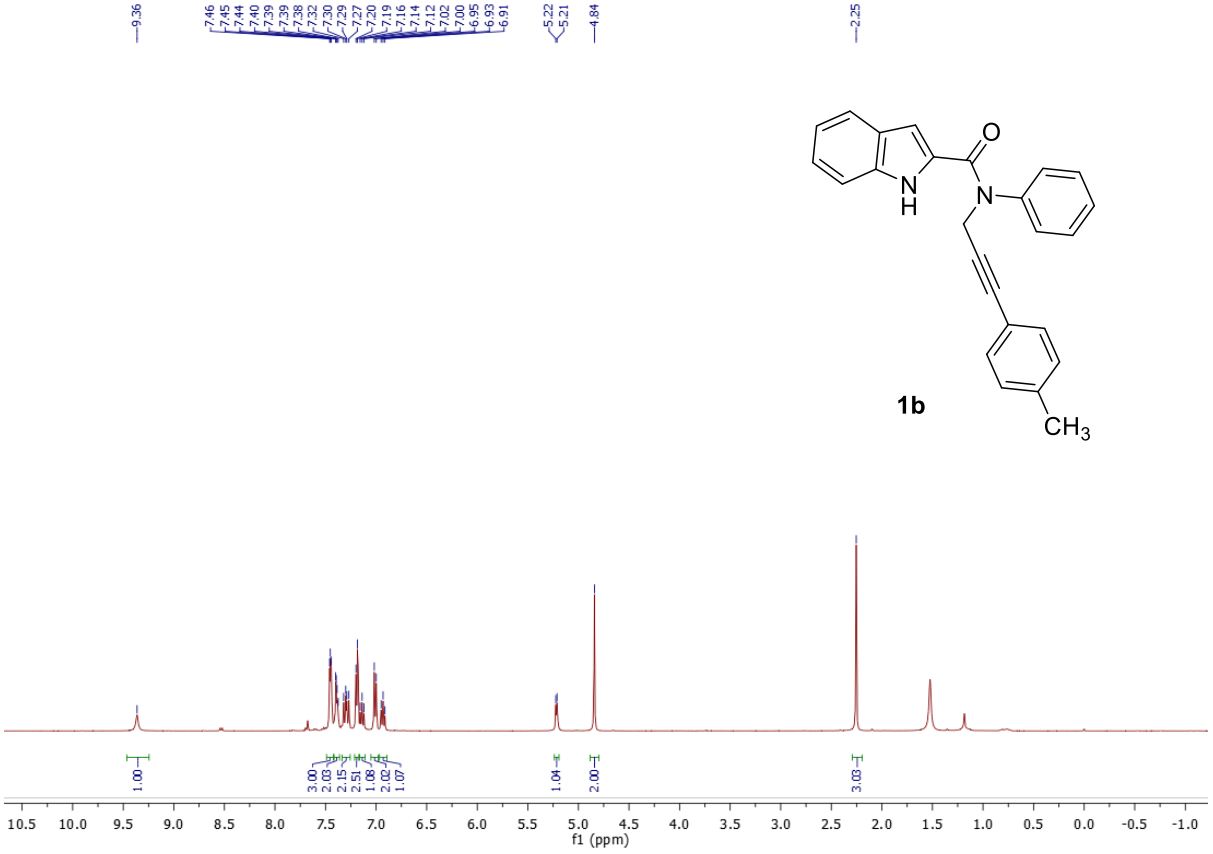


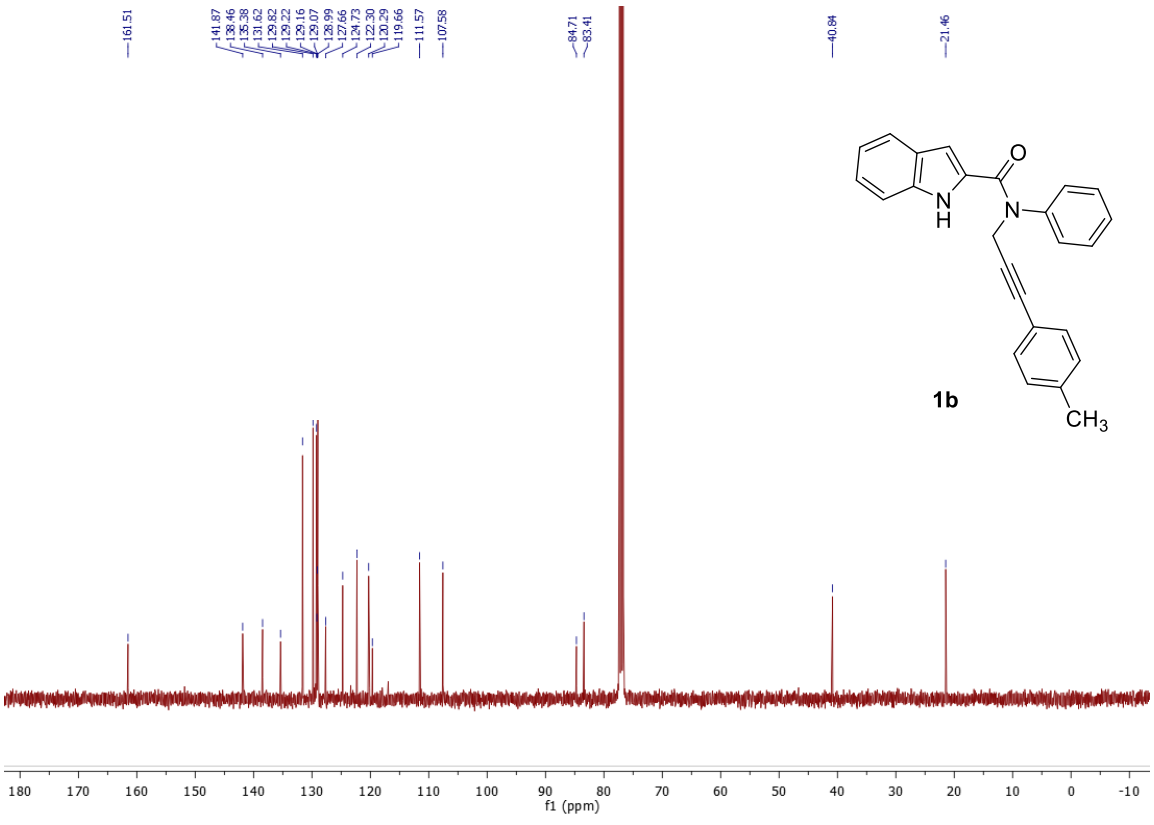
^{1}H and ^{13}C spectra of **1a**





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





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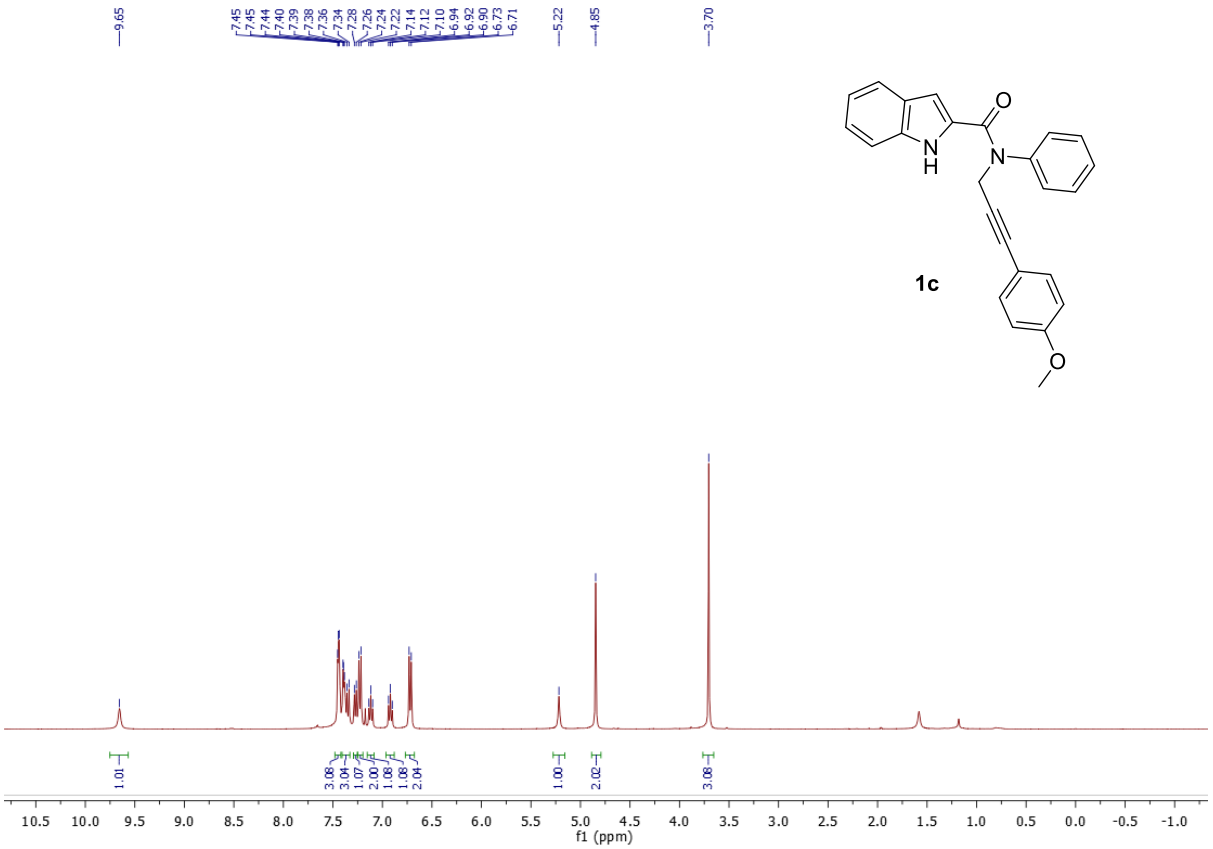
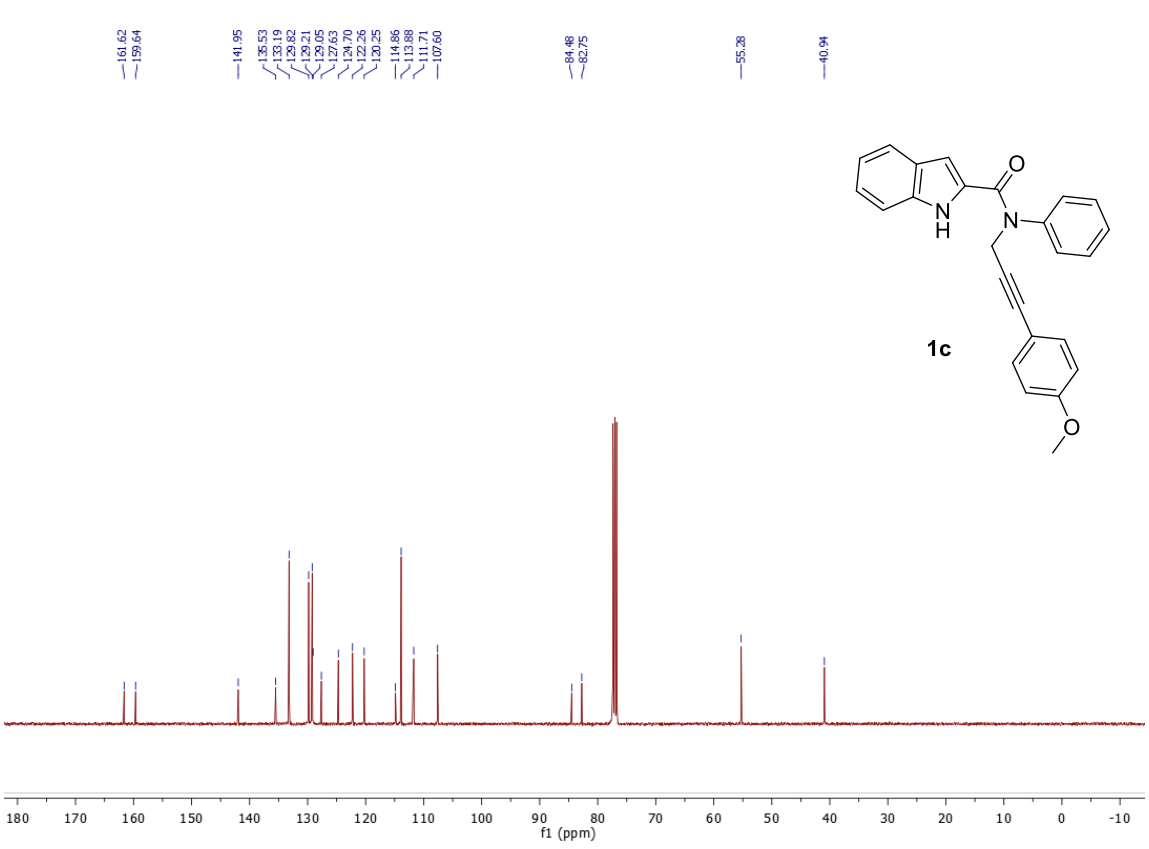
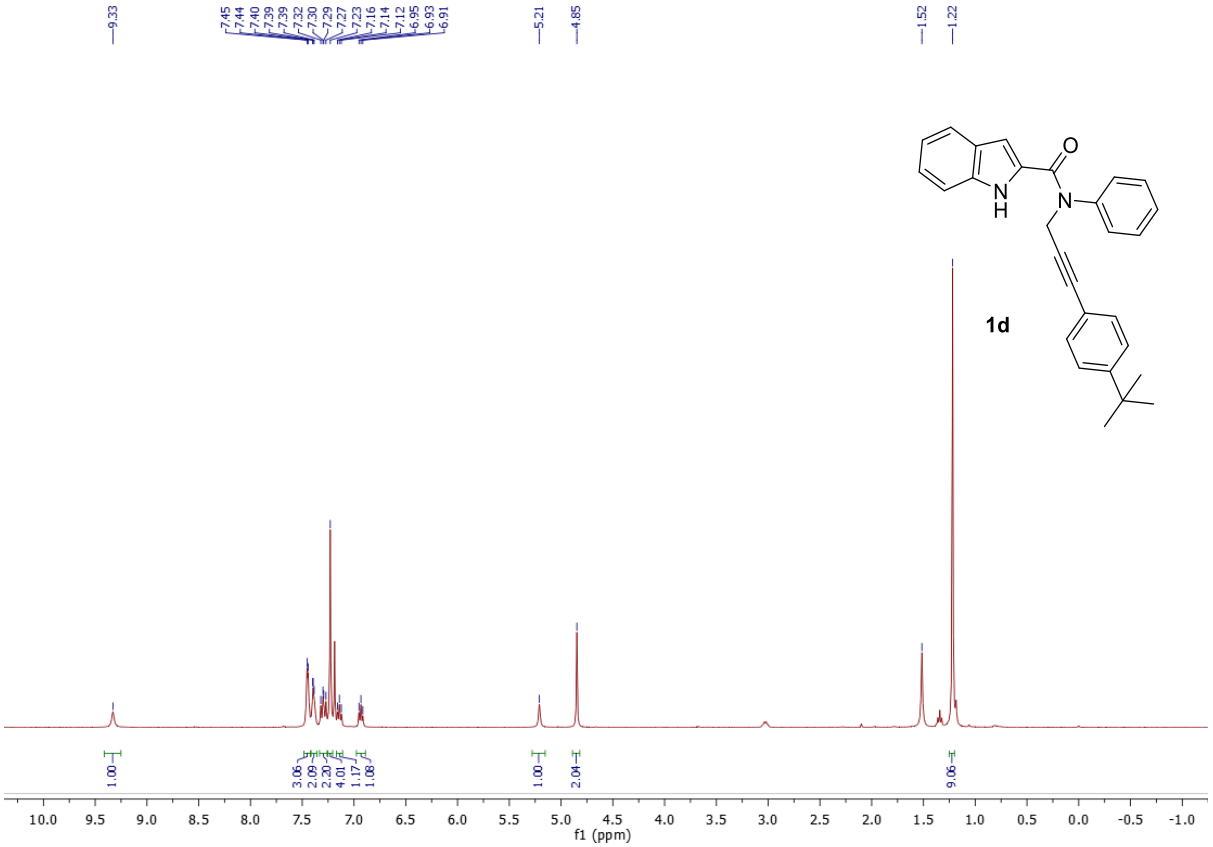
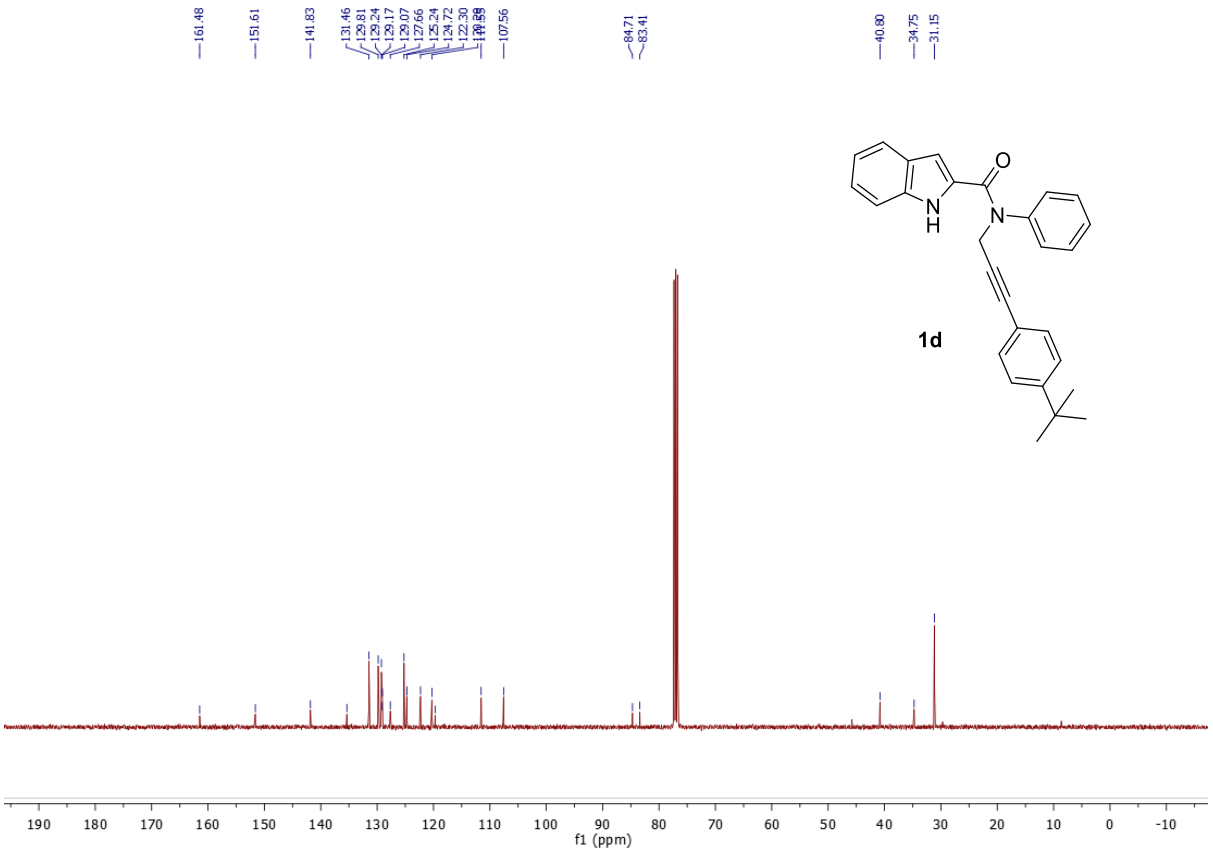


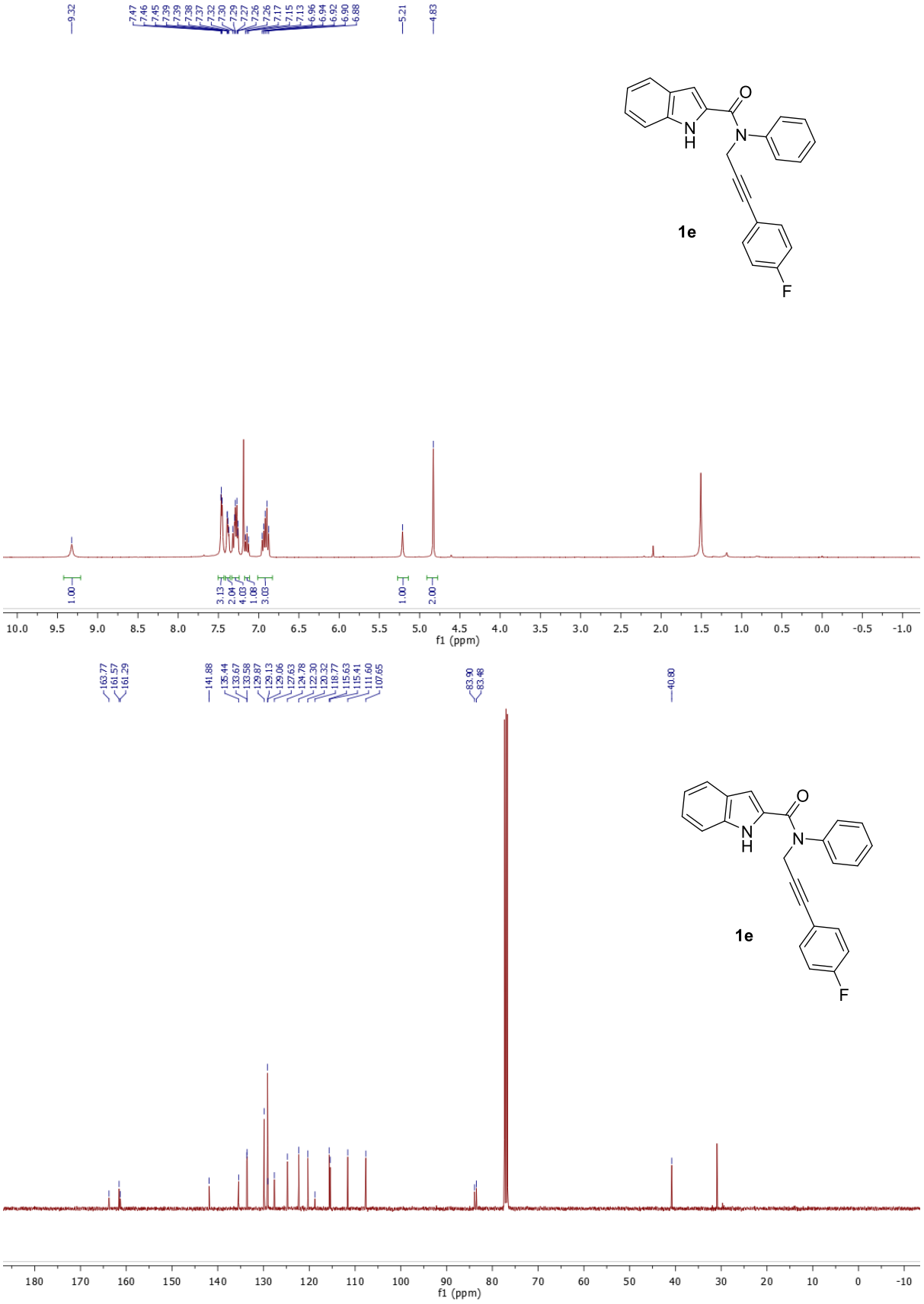


^{1}H and ^{13}C spectra of **1d**

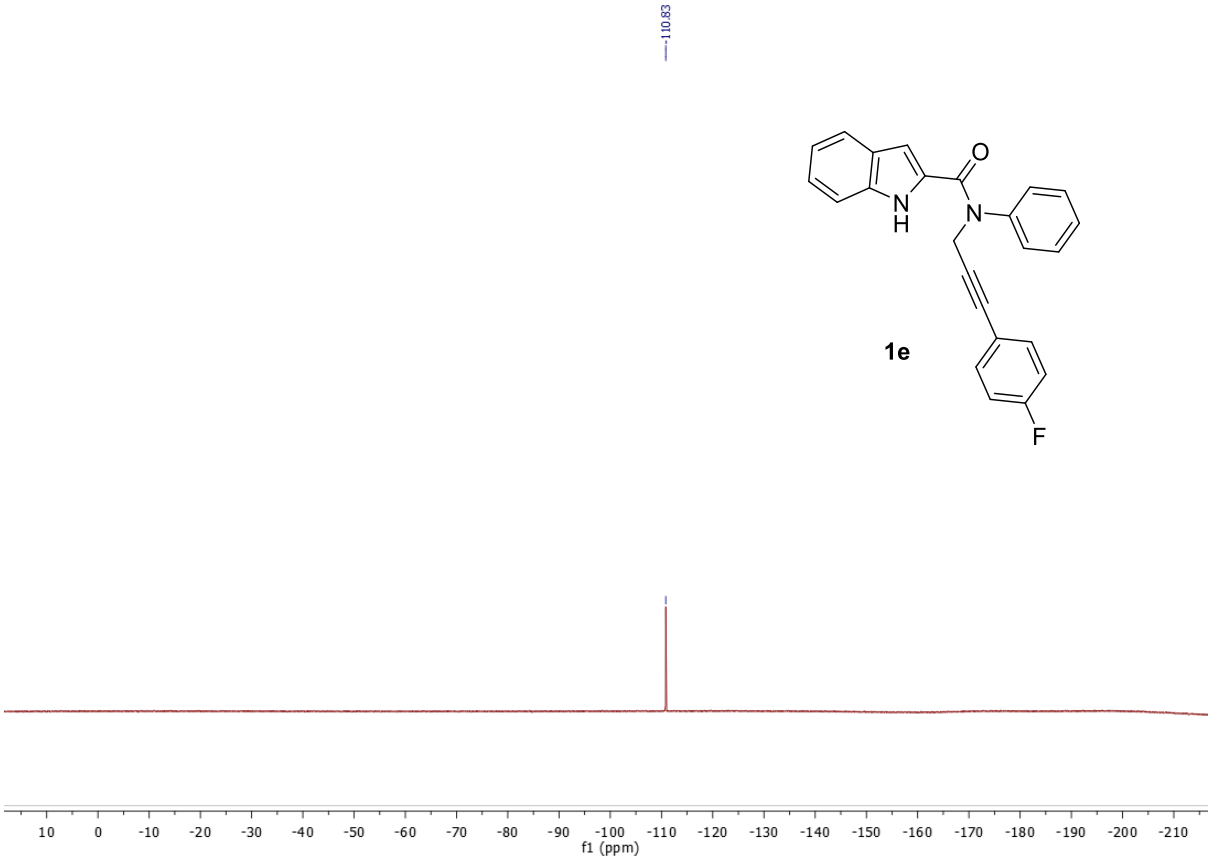




^{1}H and ^{13}C spectra of **1e**



^{19}F spectra of **1ae**



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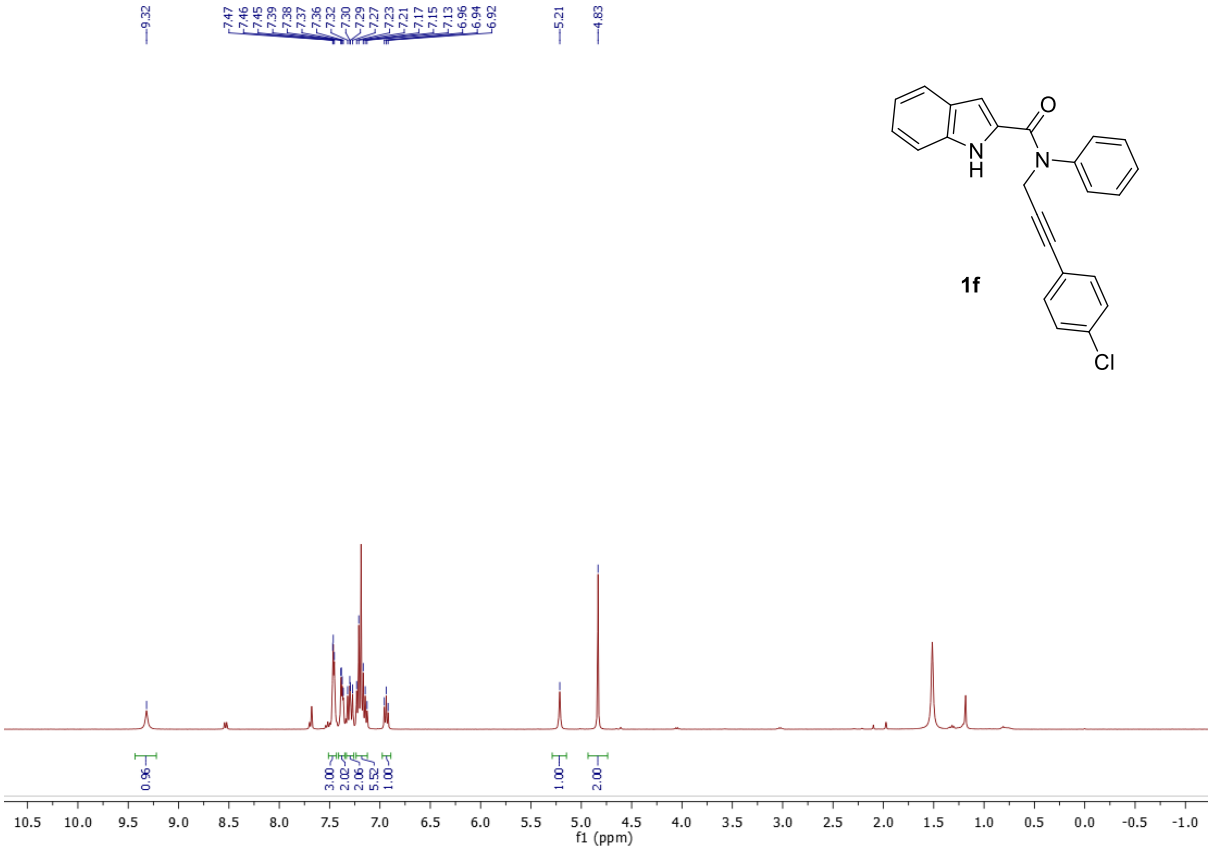
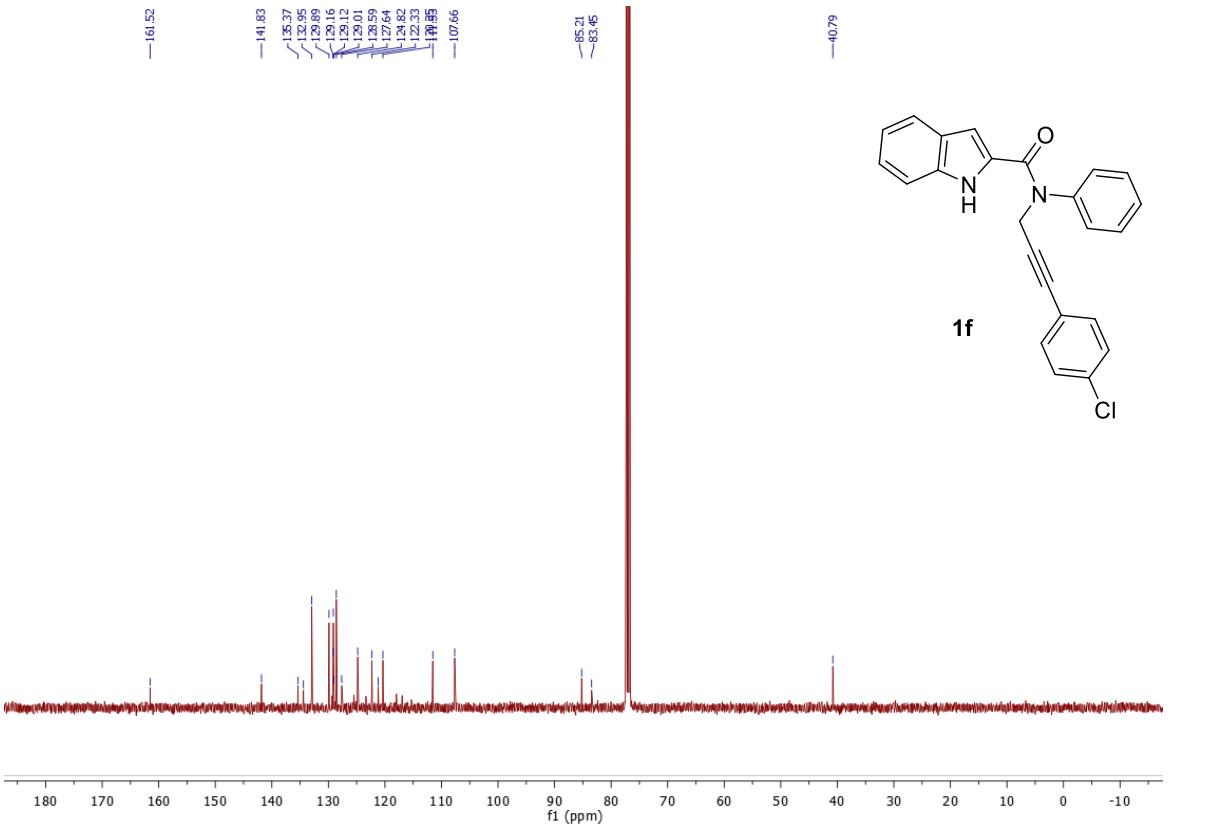
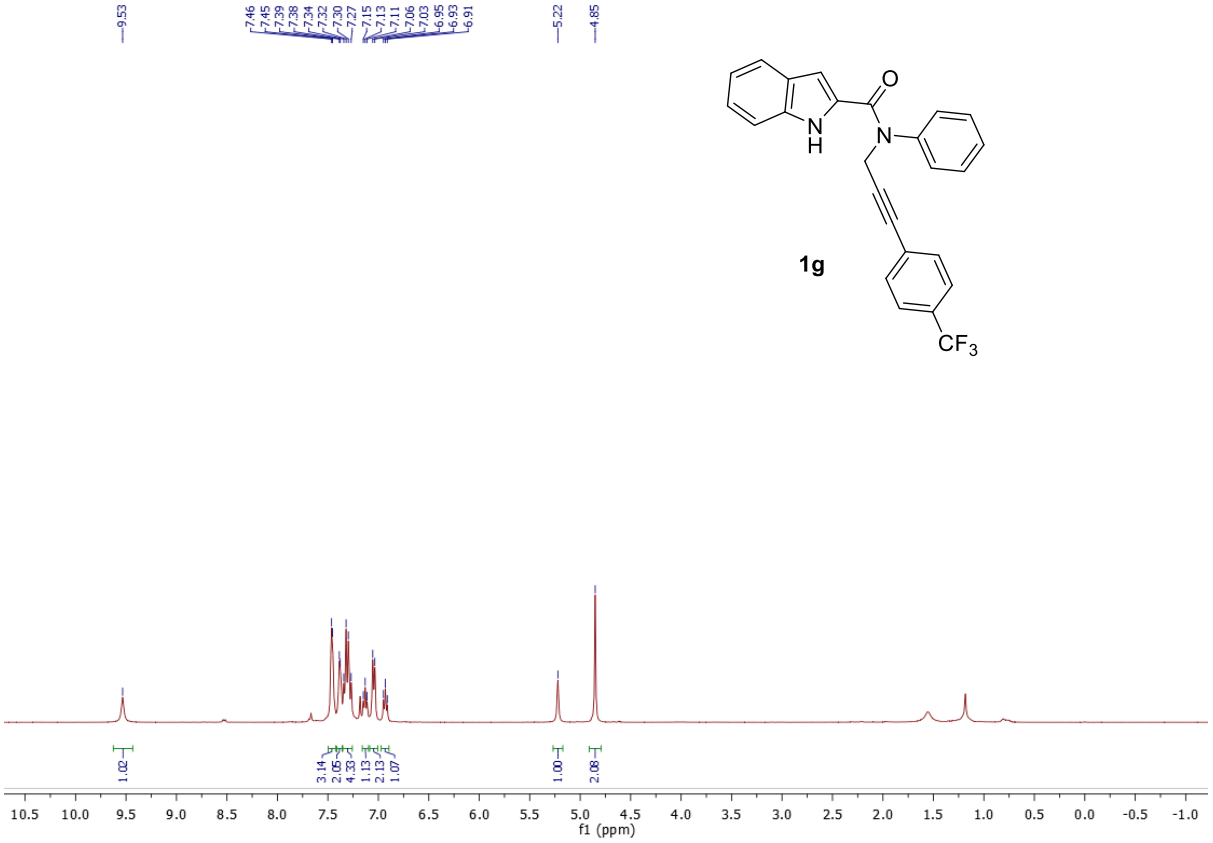
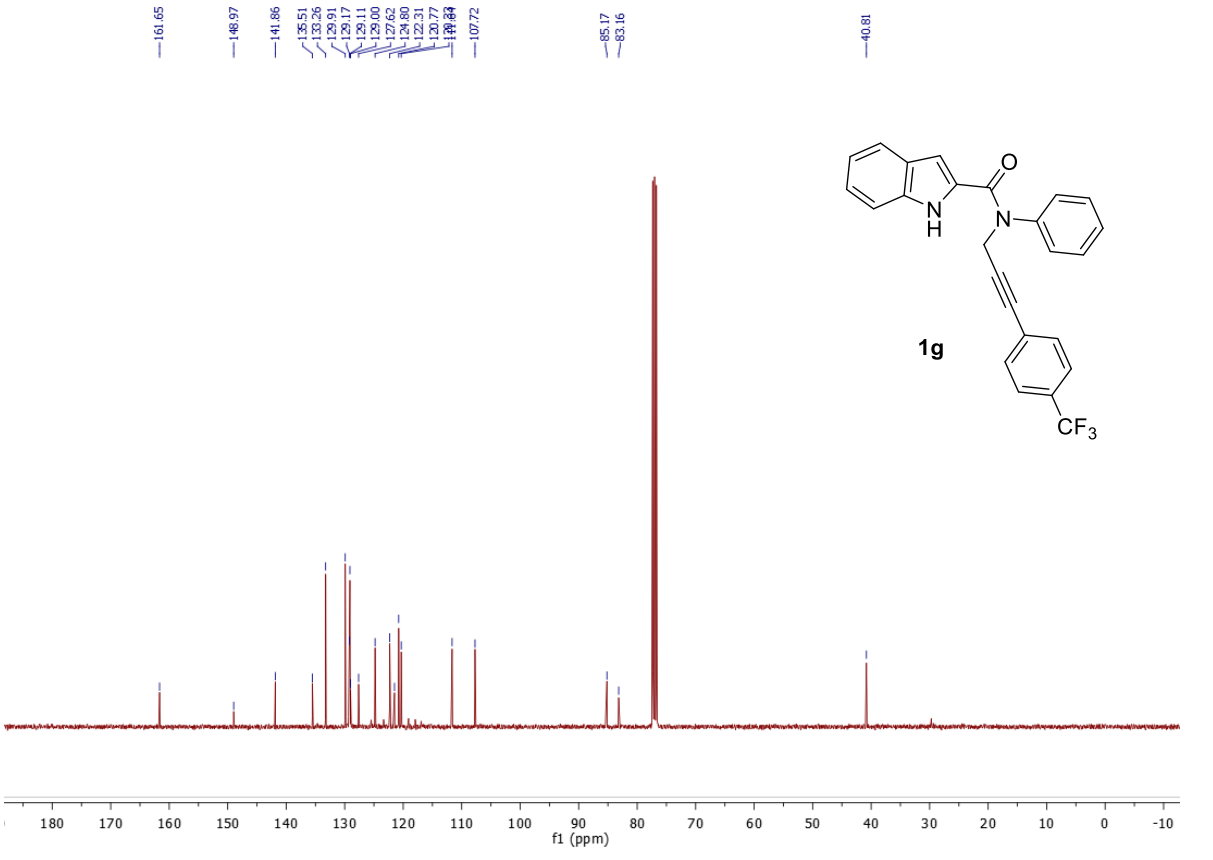


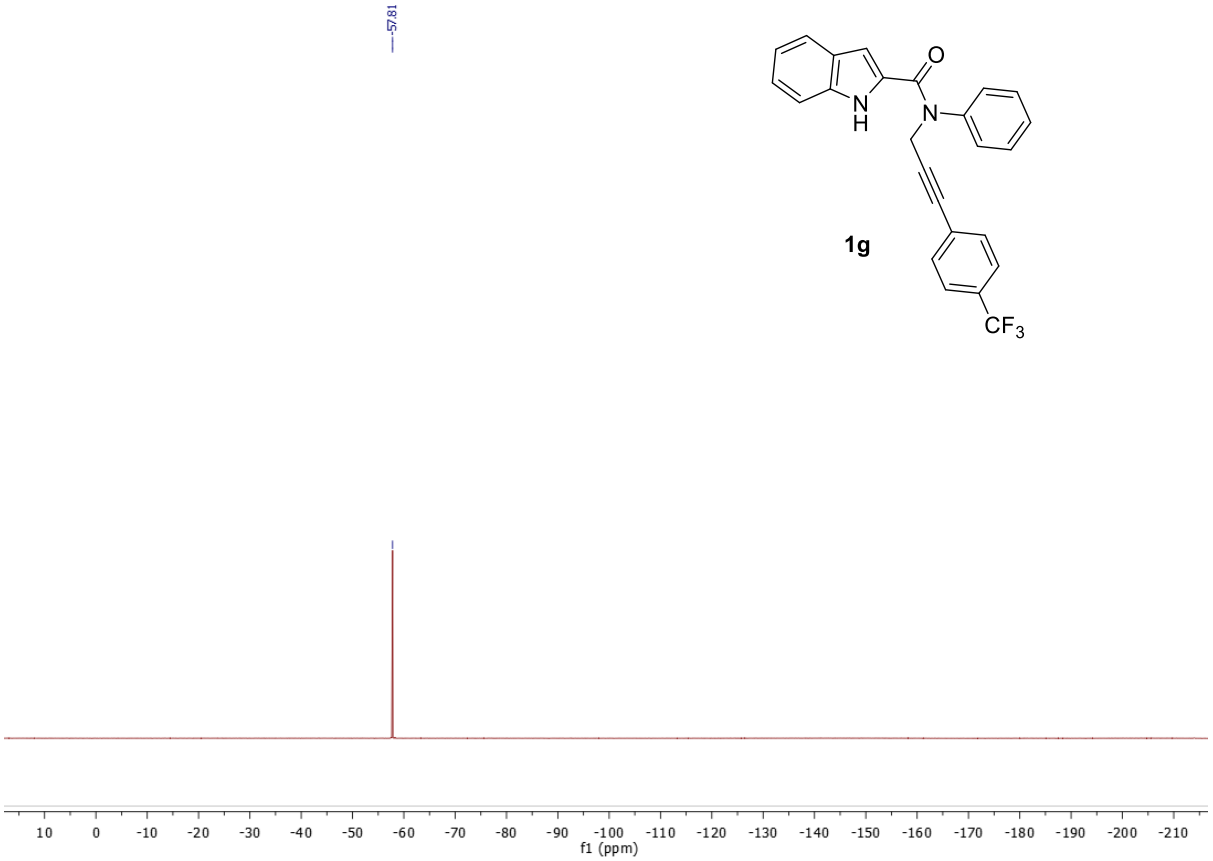


^{1}H and ^{13}C spectra of **1g**

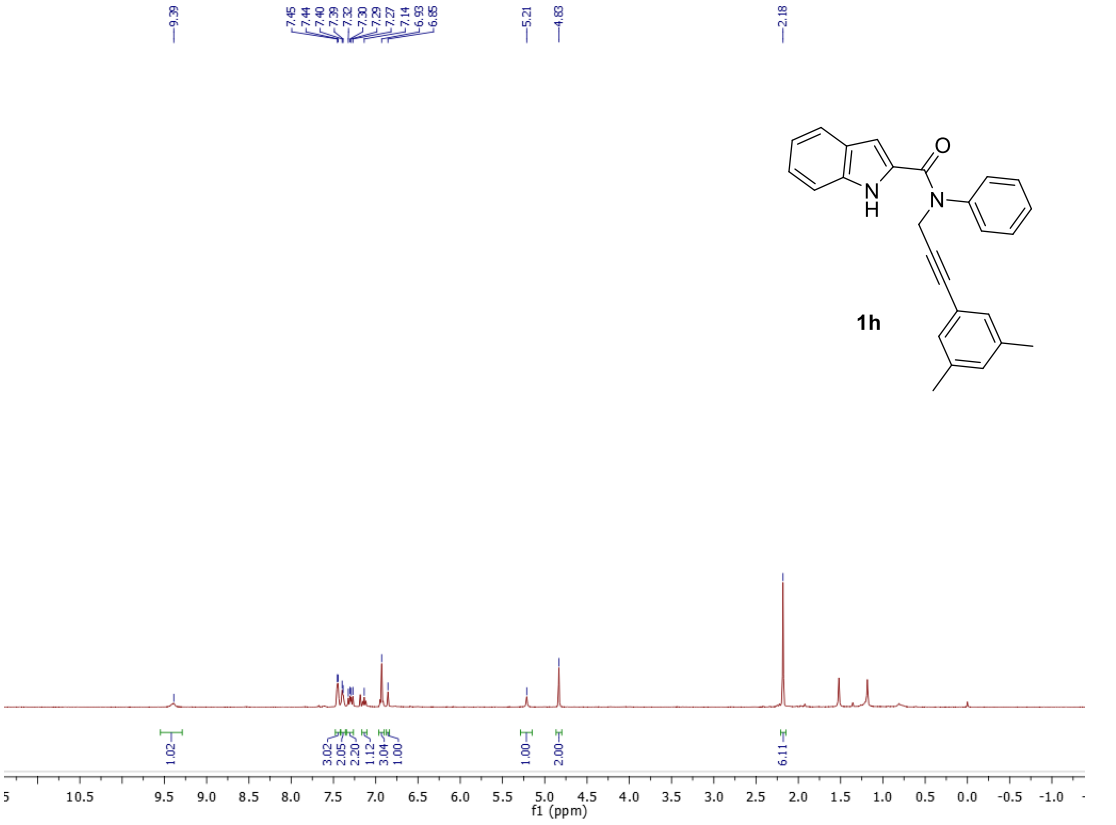




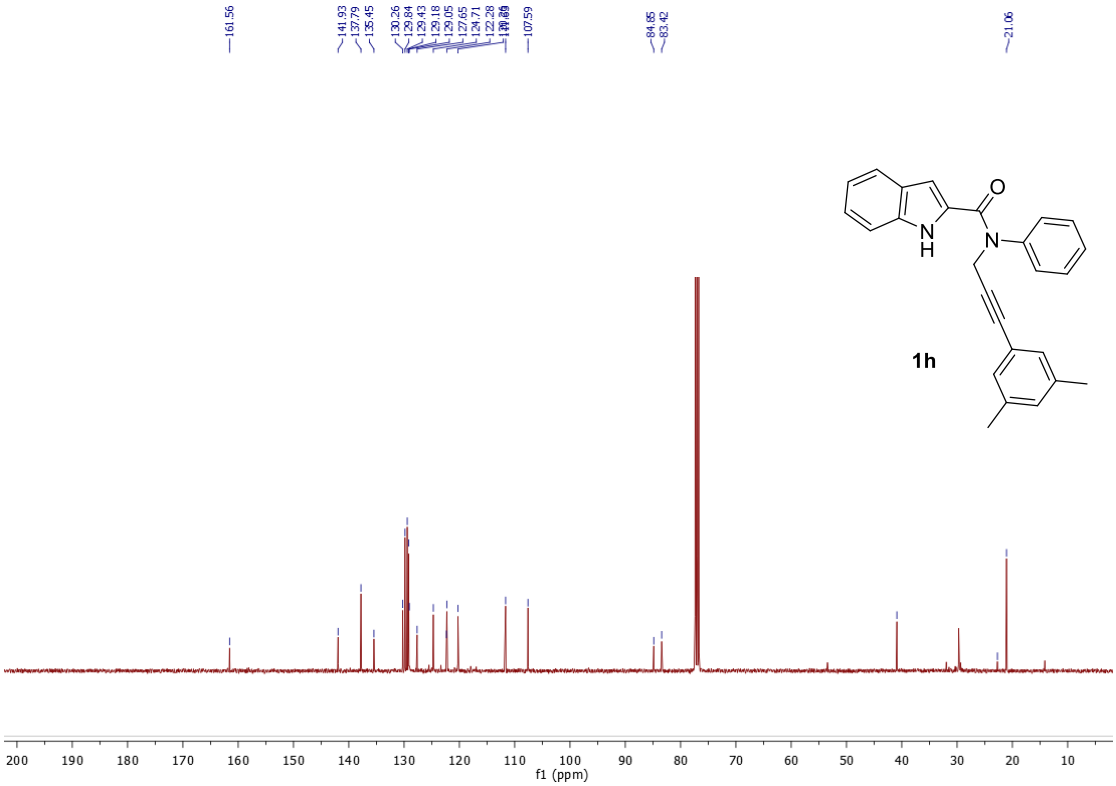
^{19}F spectra of **1ag**



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

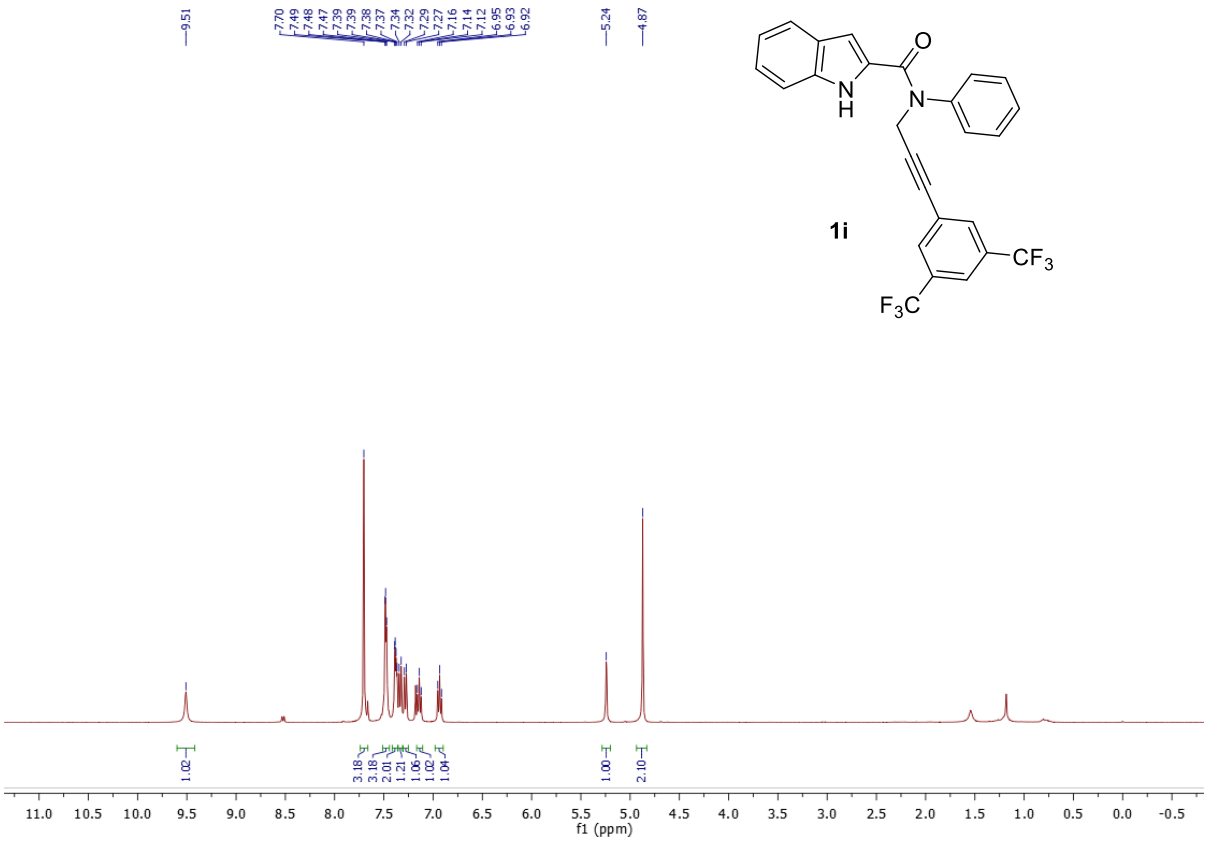


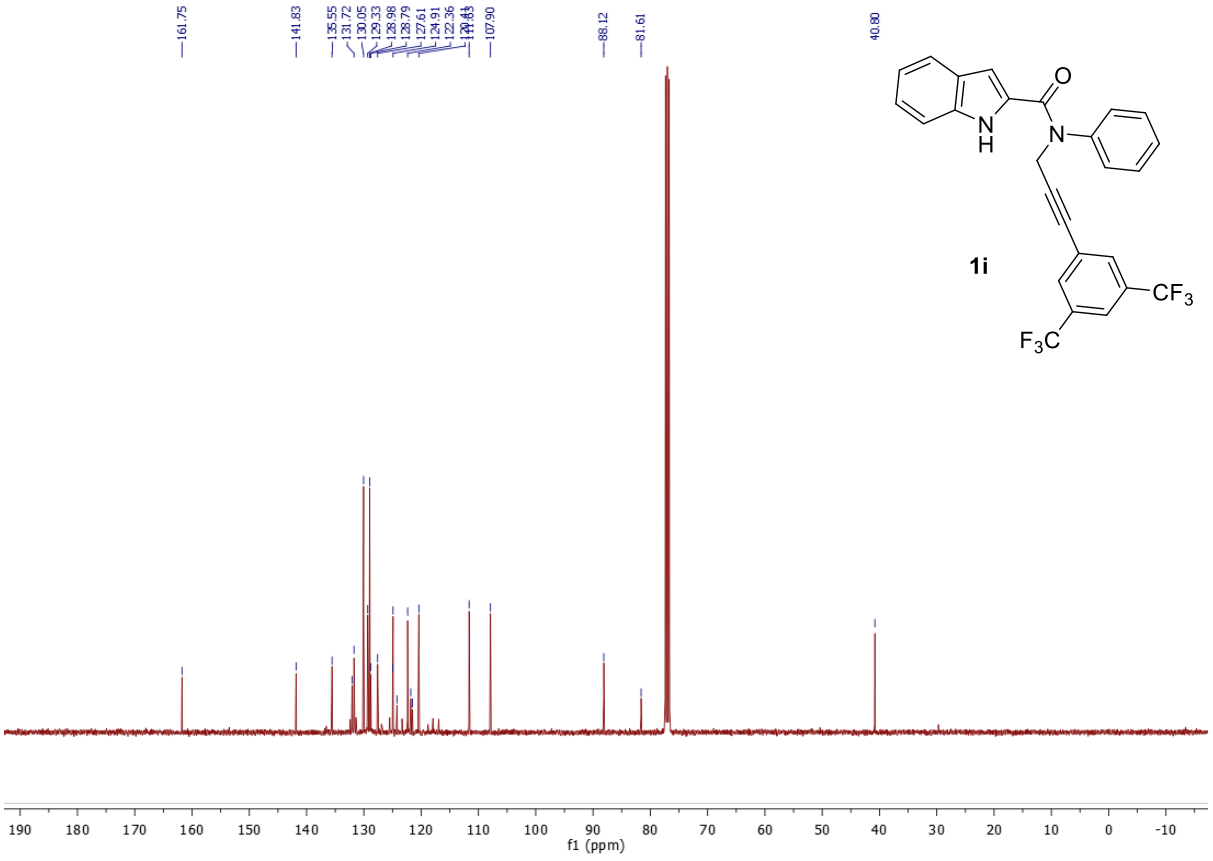
1h



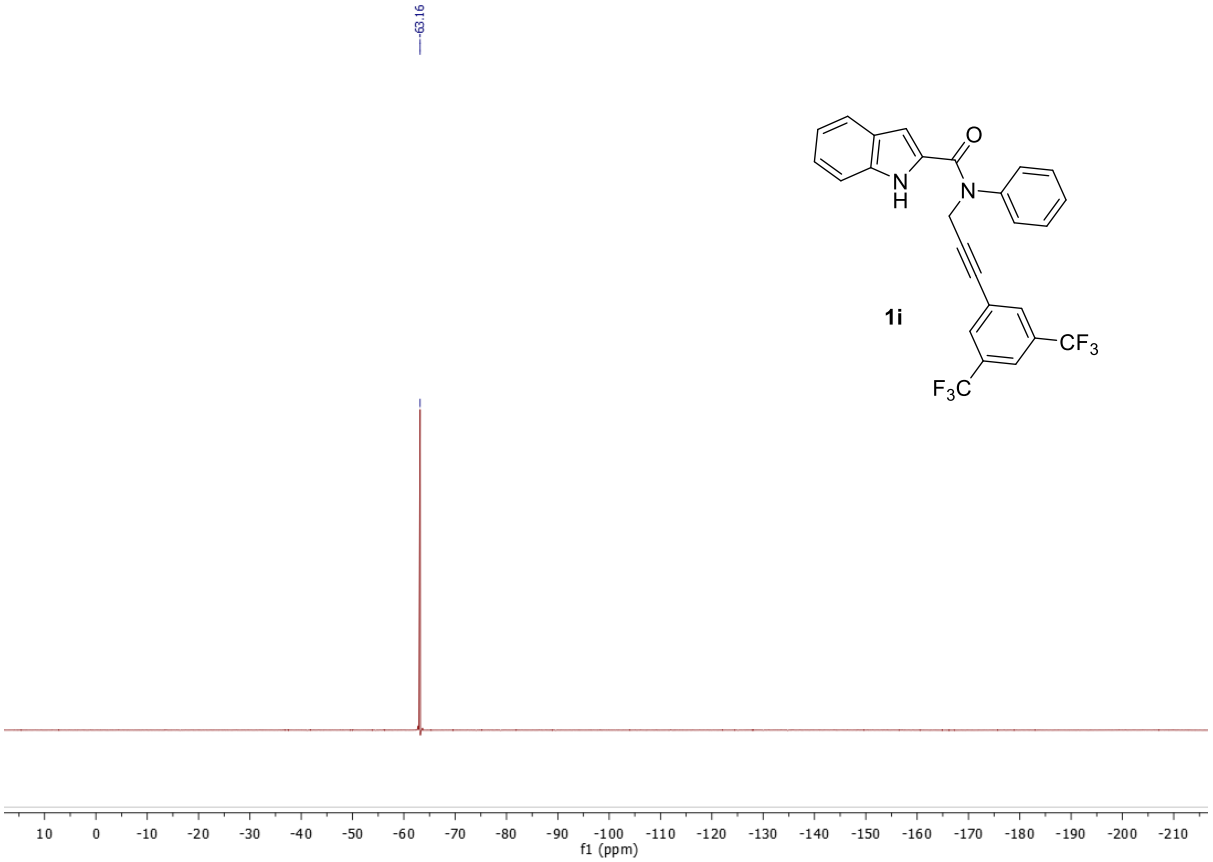
1h

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

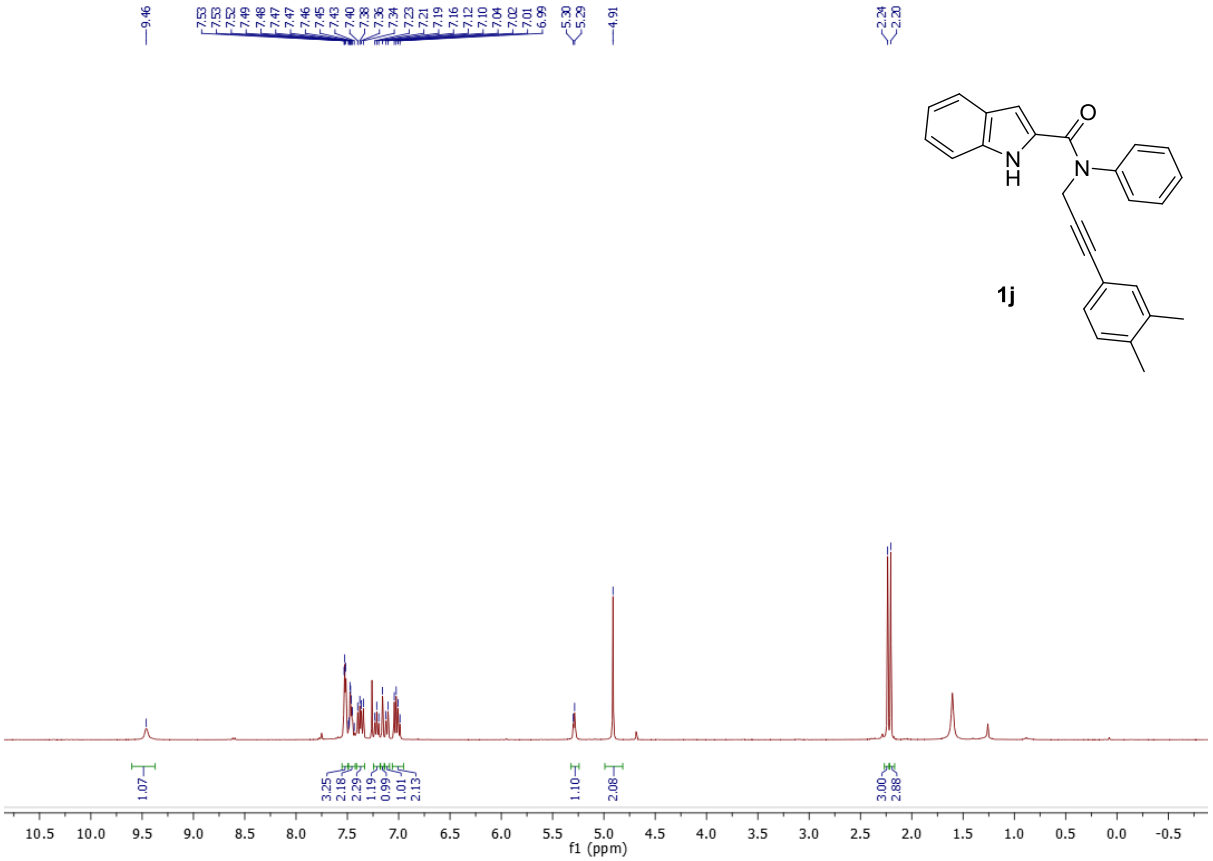


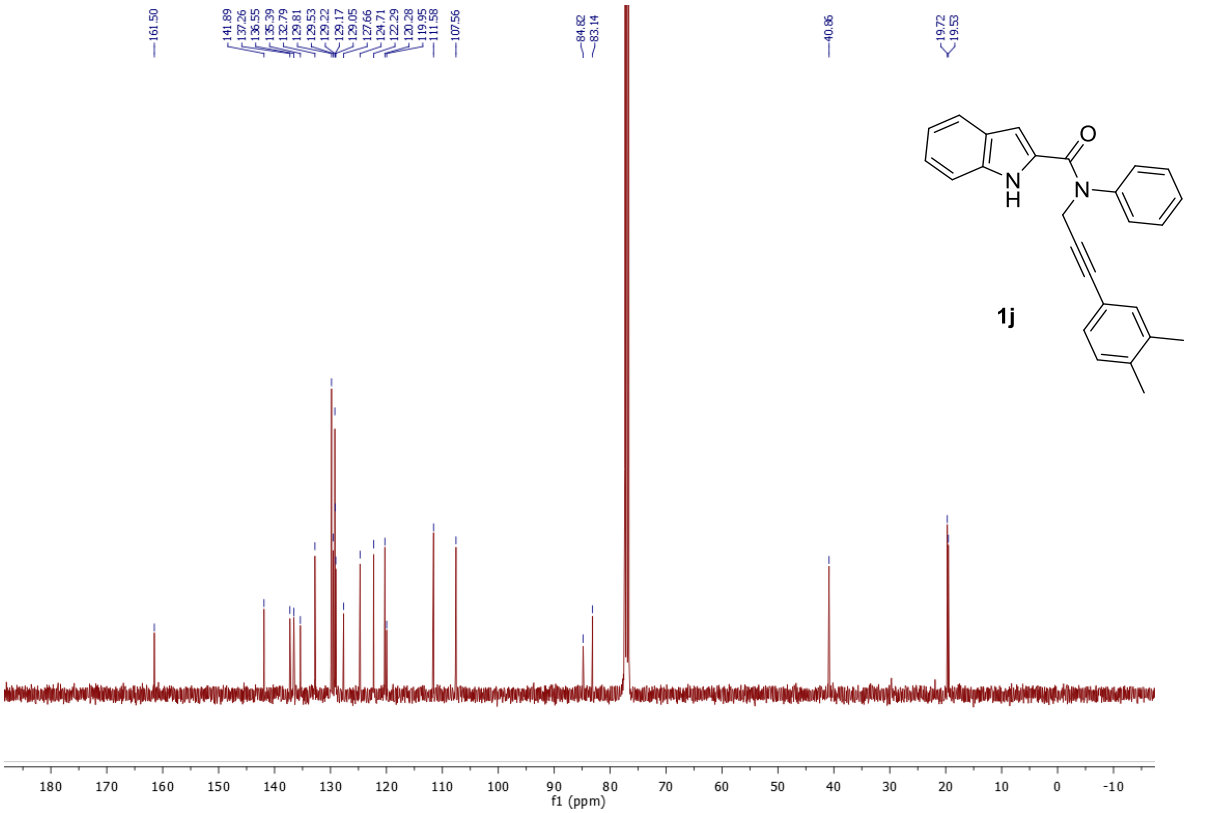


^{19}F spectra of **1i**

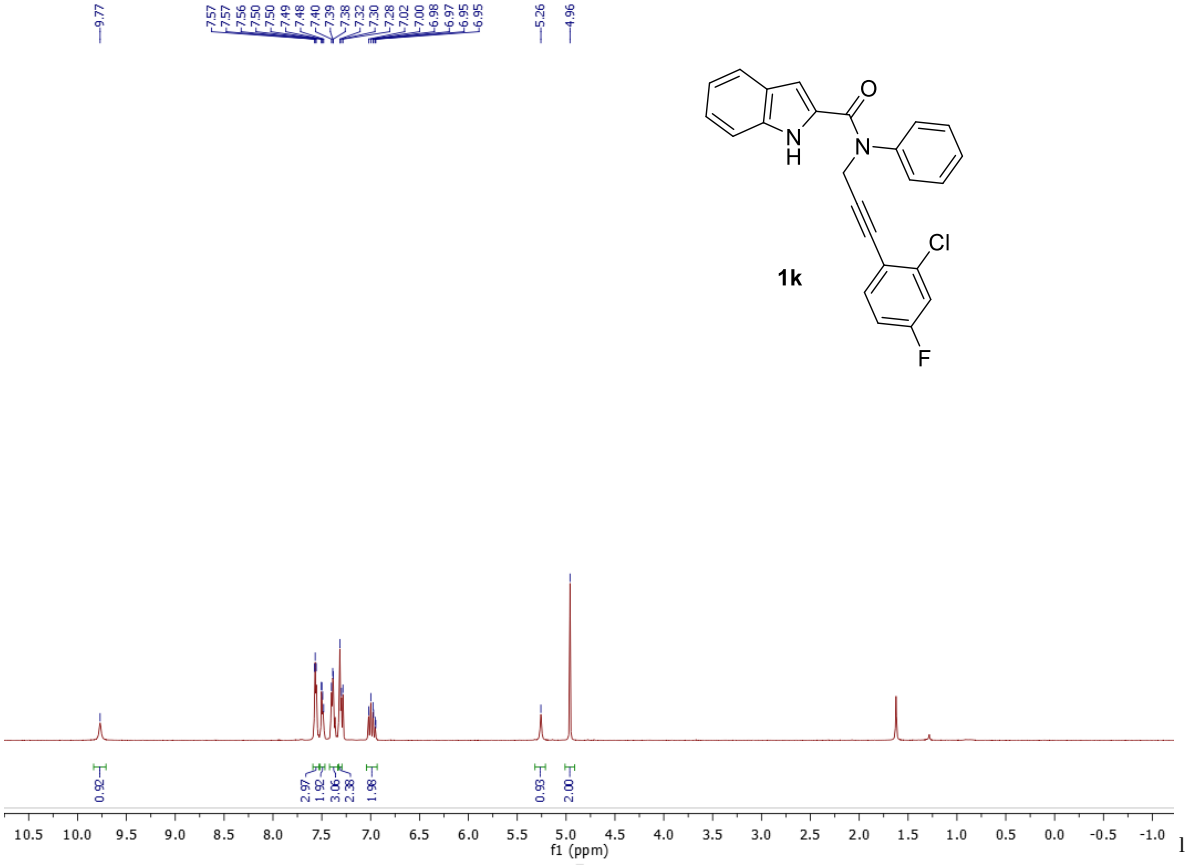


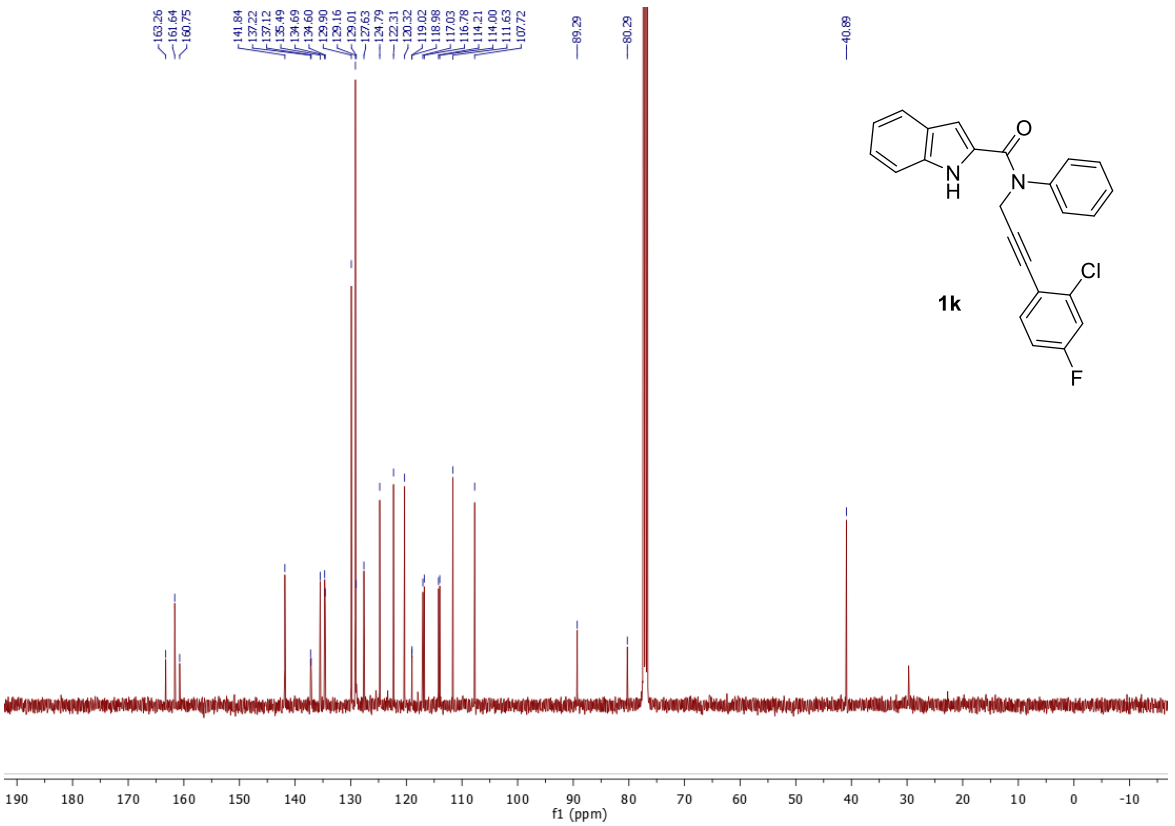
^{1}H and ^{13}C spectra of **1j**



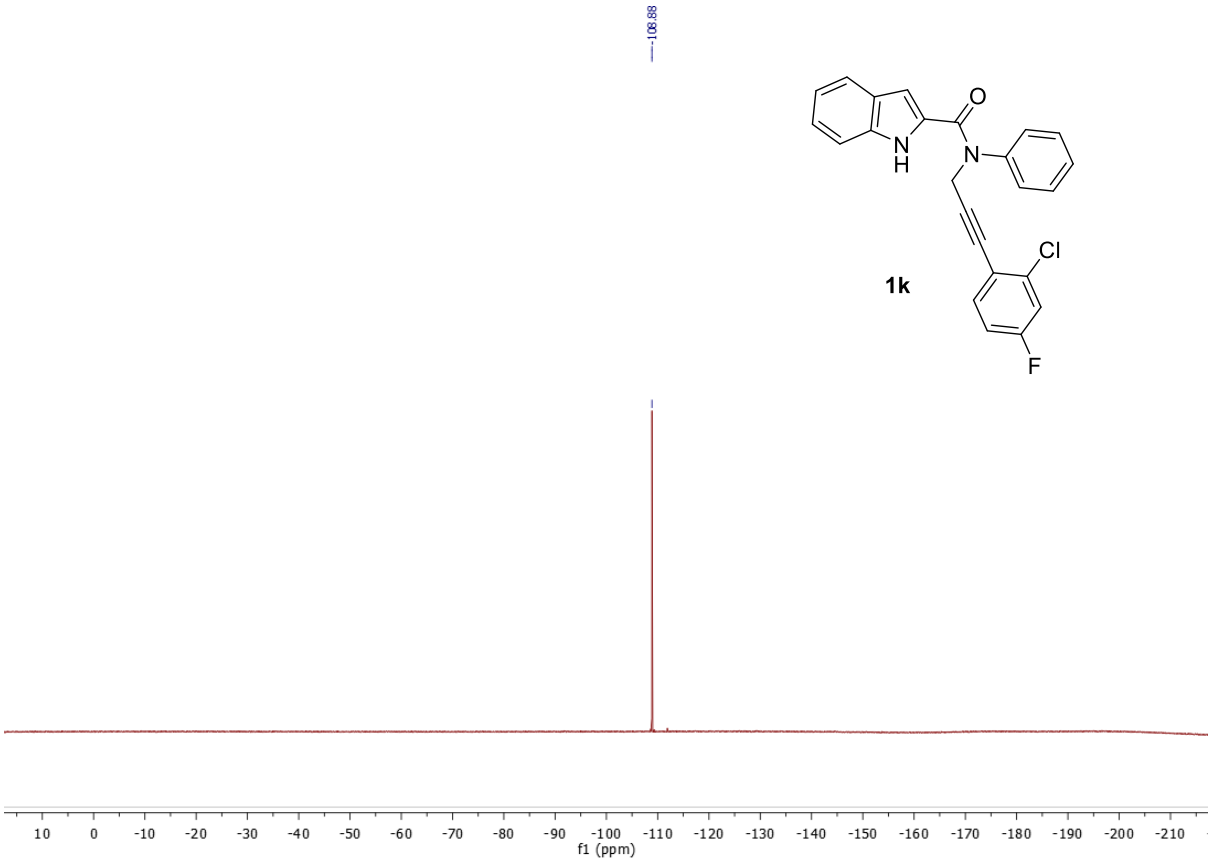


^{1}H and ^{13}C spectra of **1k**

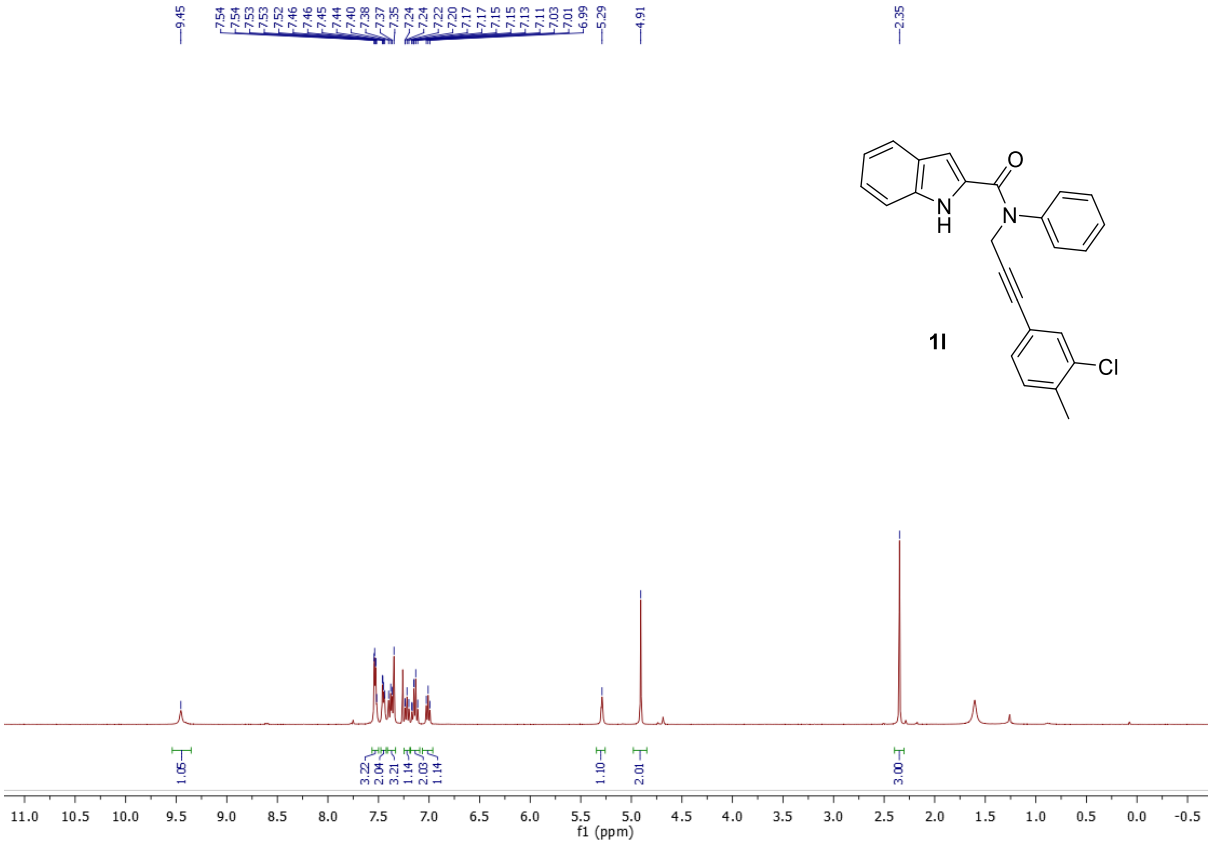


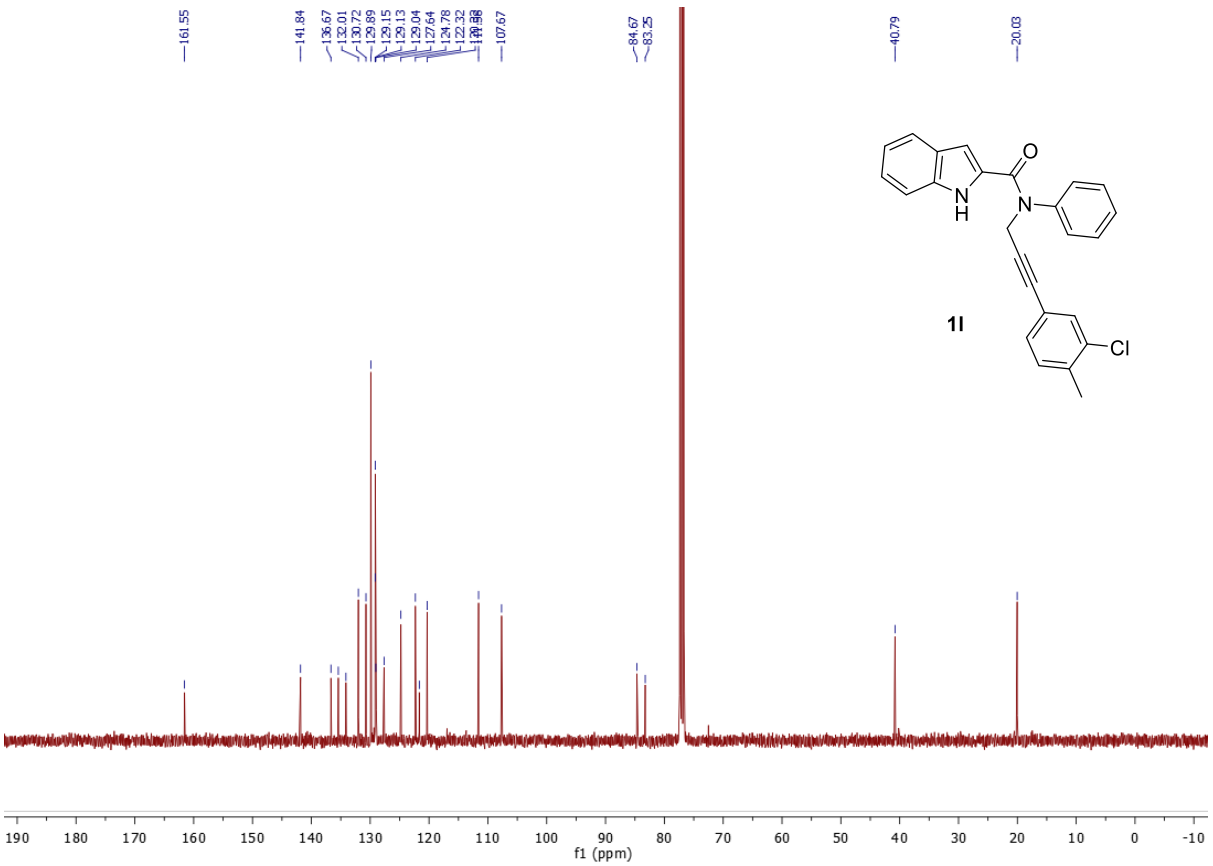


^{19}F spectra of **1k**

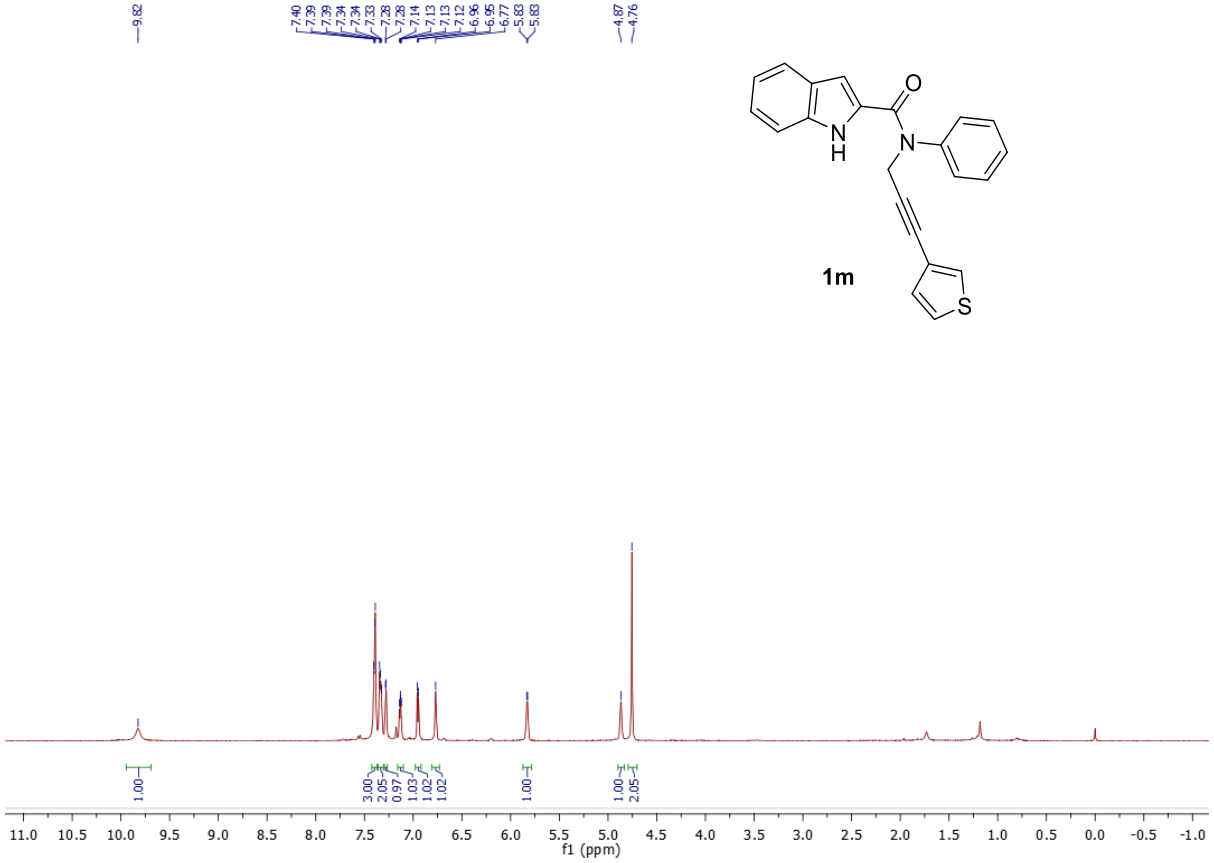


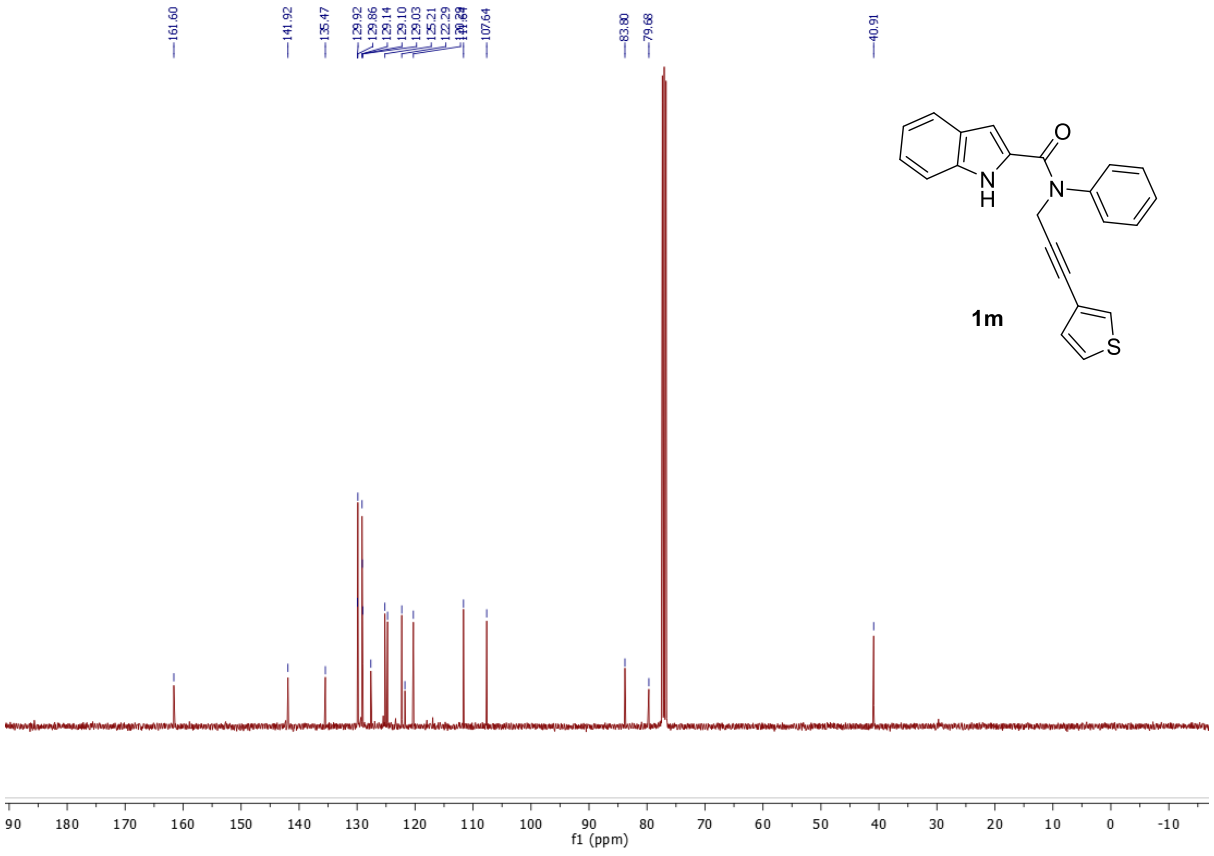
^{1}H and ^{13}C spectra of **1l**



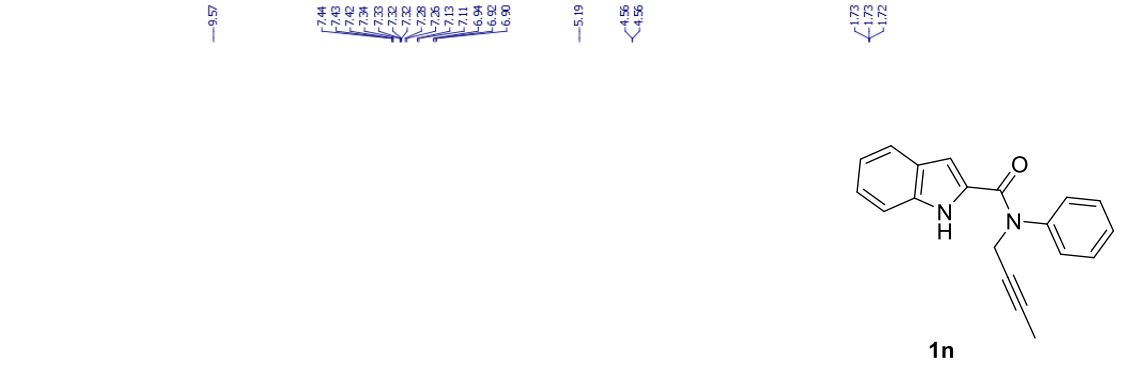


^{1}H and ^{13}C spectra of **1m**

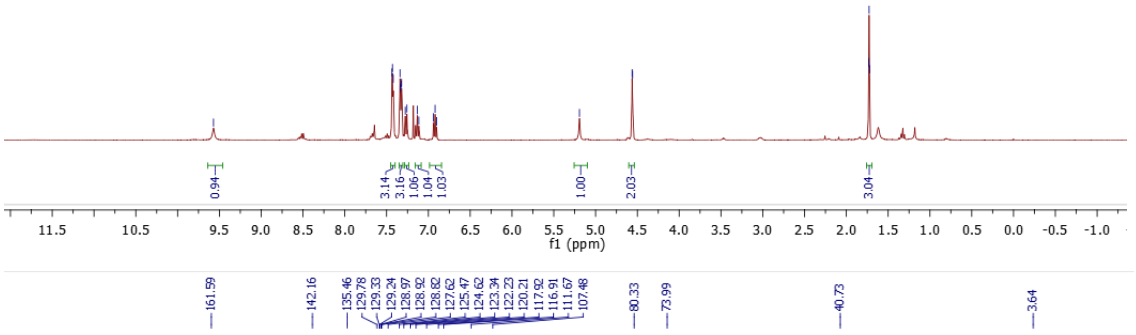


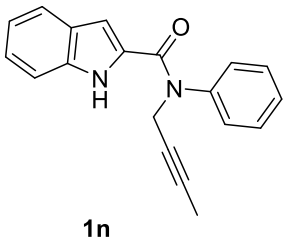


^{1}H and ^{13}C spectra of **1n**

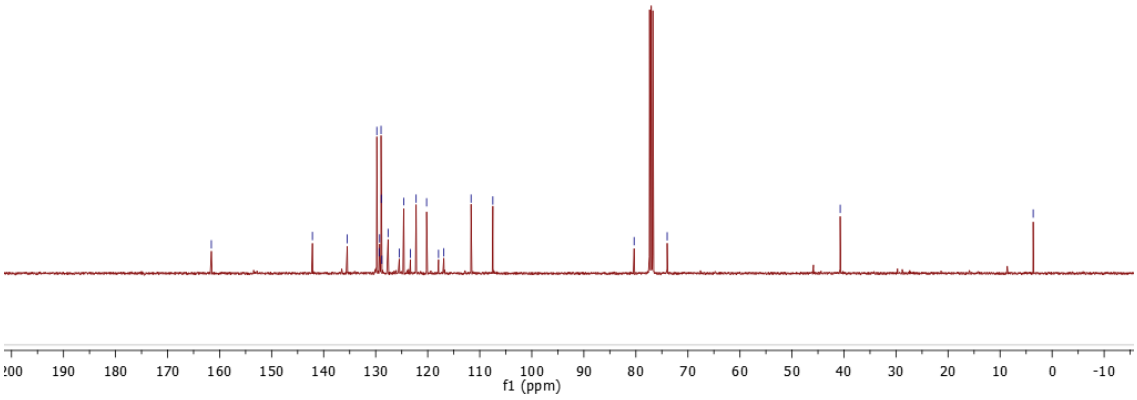


1n

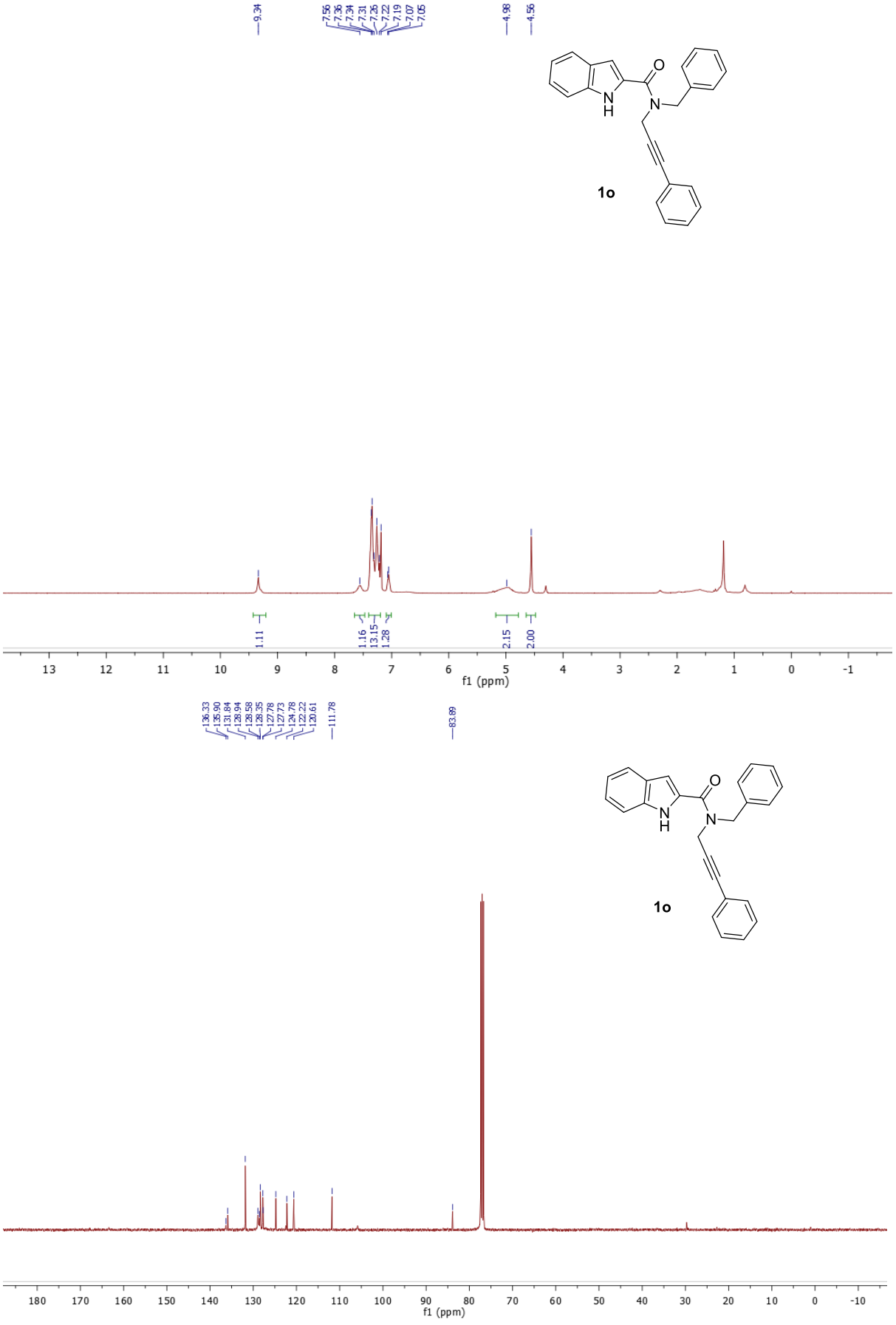




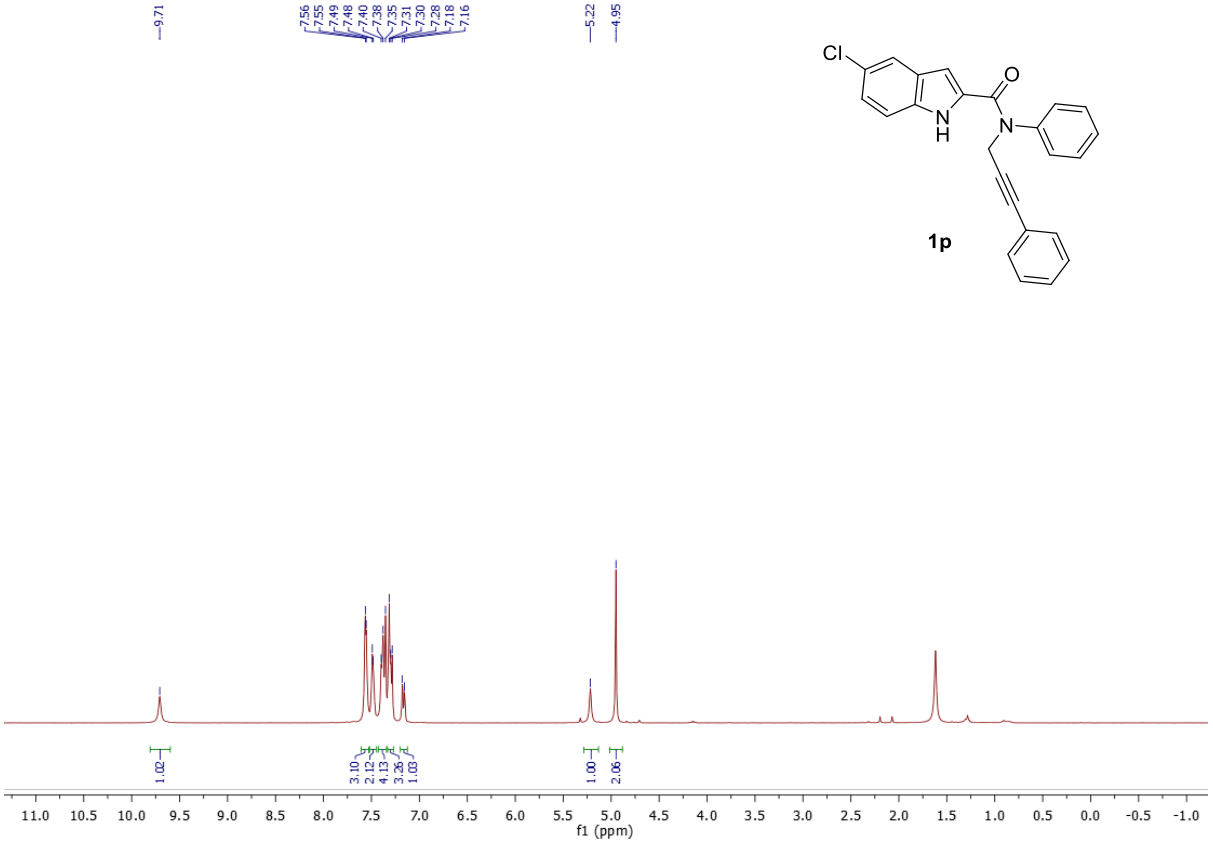
1n

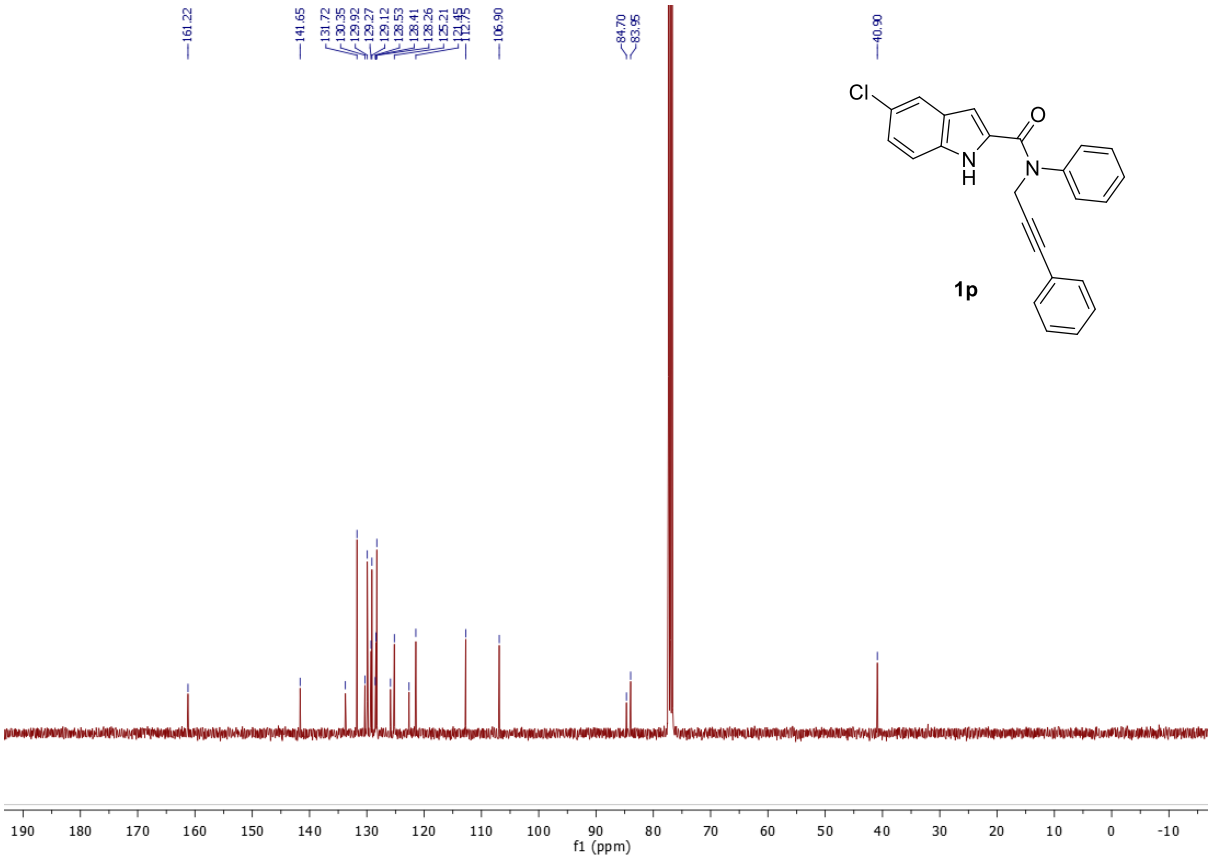


^{1}H and ^{13}C spectra of **1o**

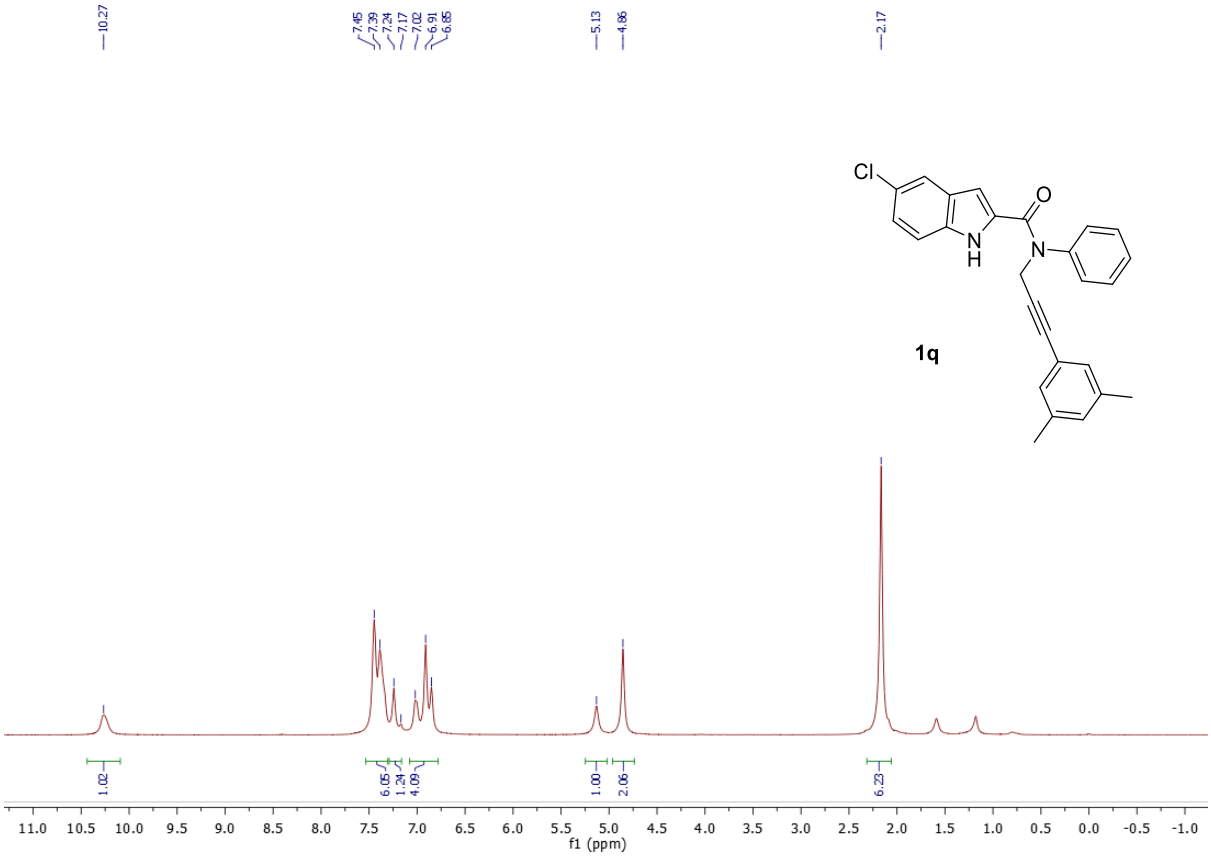


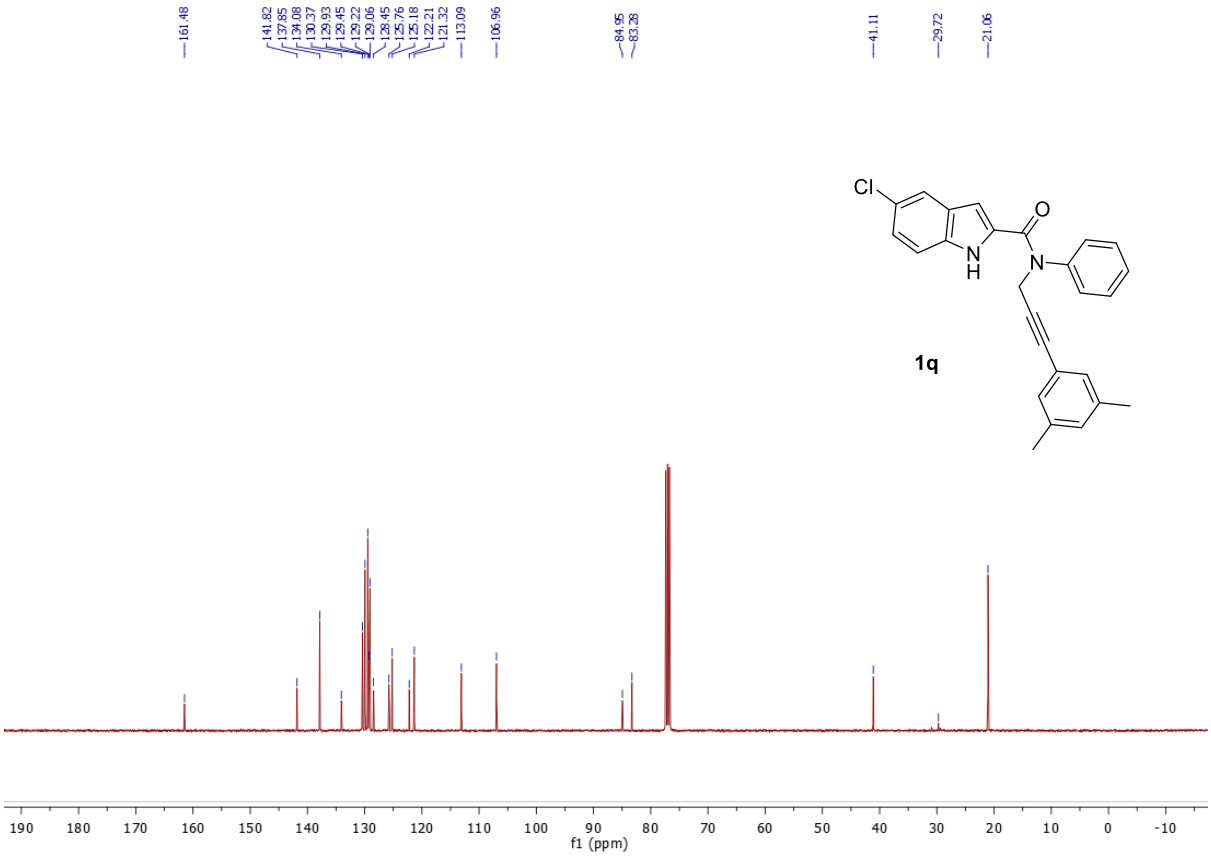
^{1}H and ^{13}C spectra of **1p**



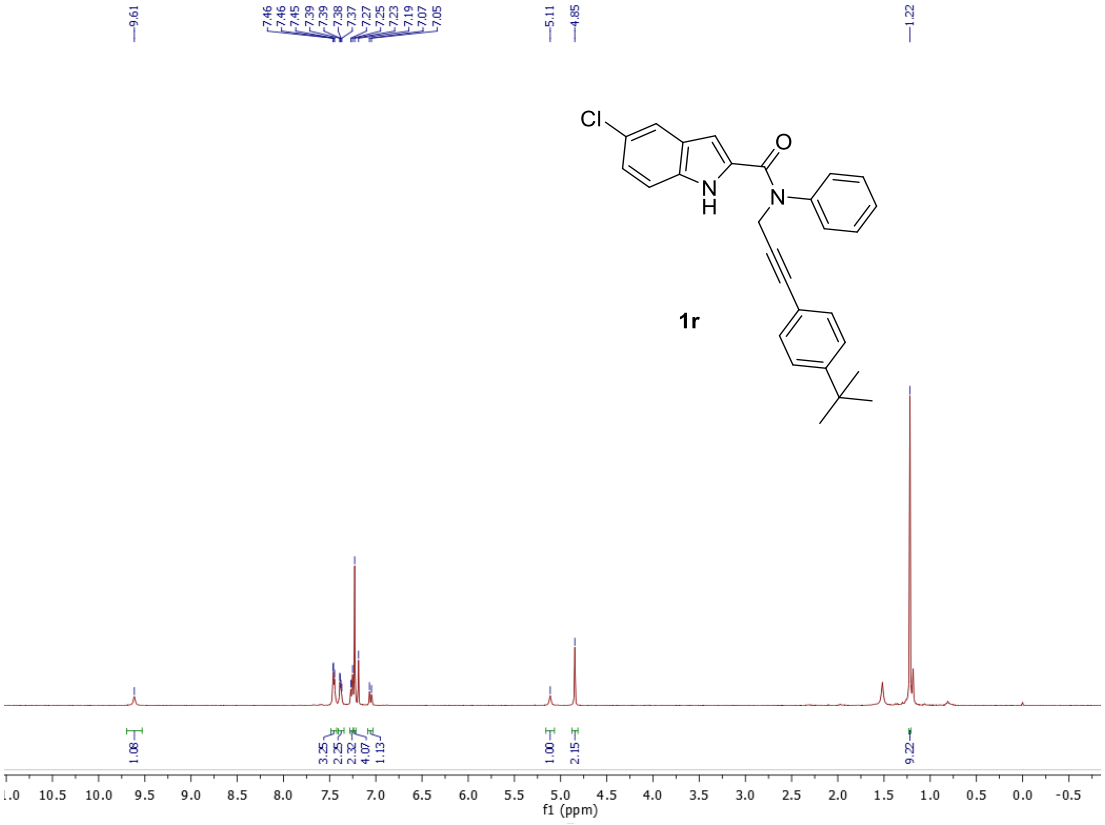


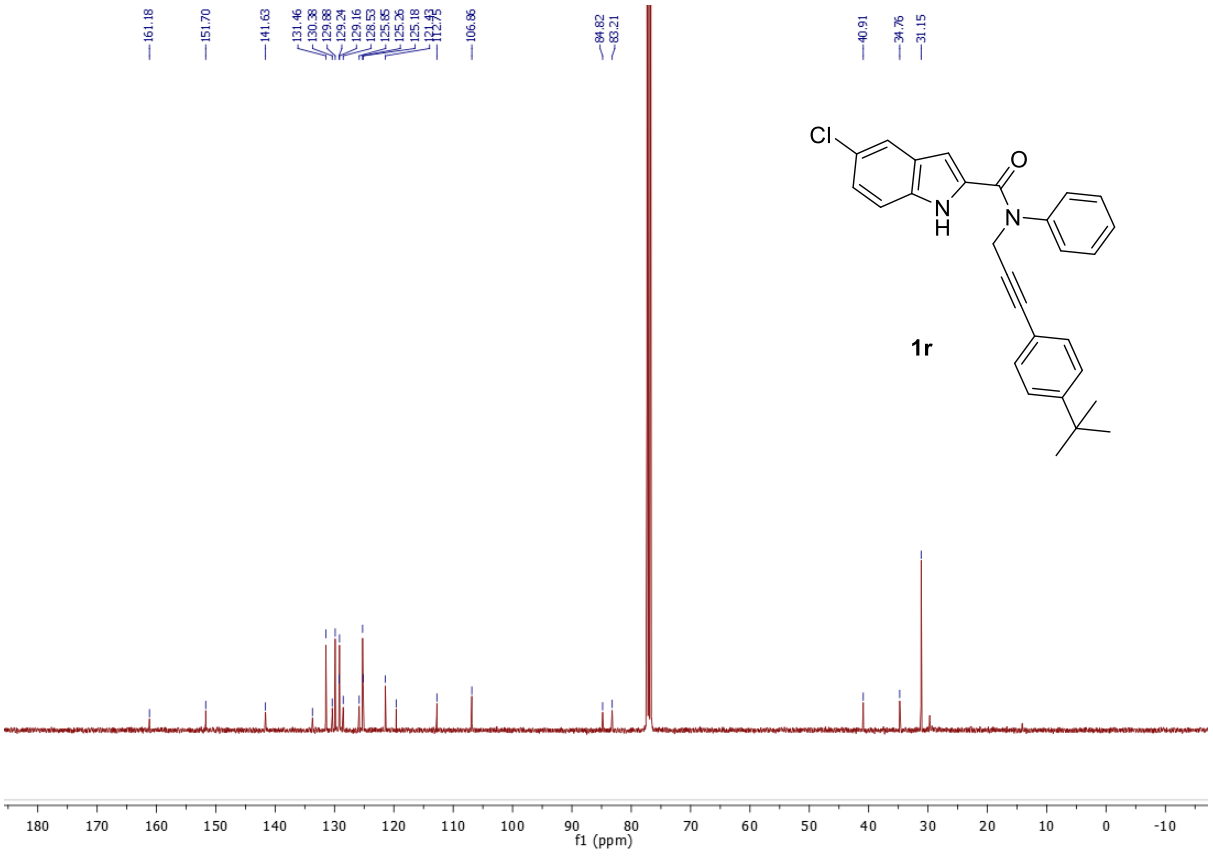
^{1}H and ^{13}C spectra of **1q**



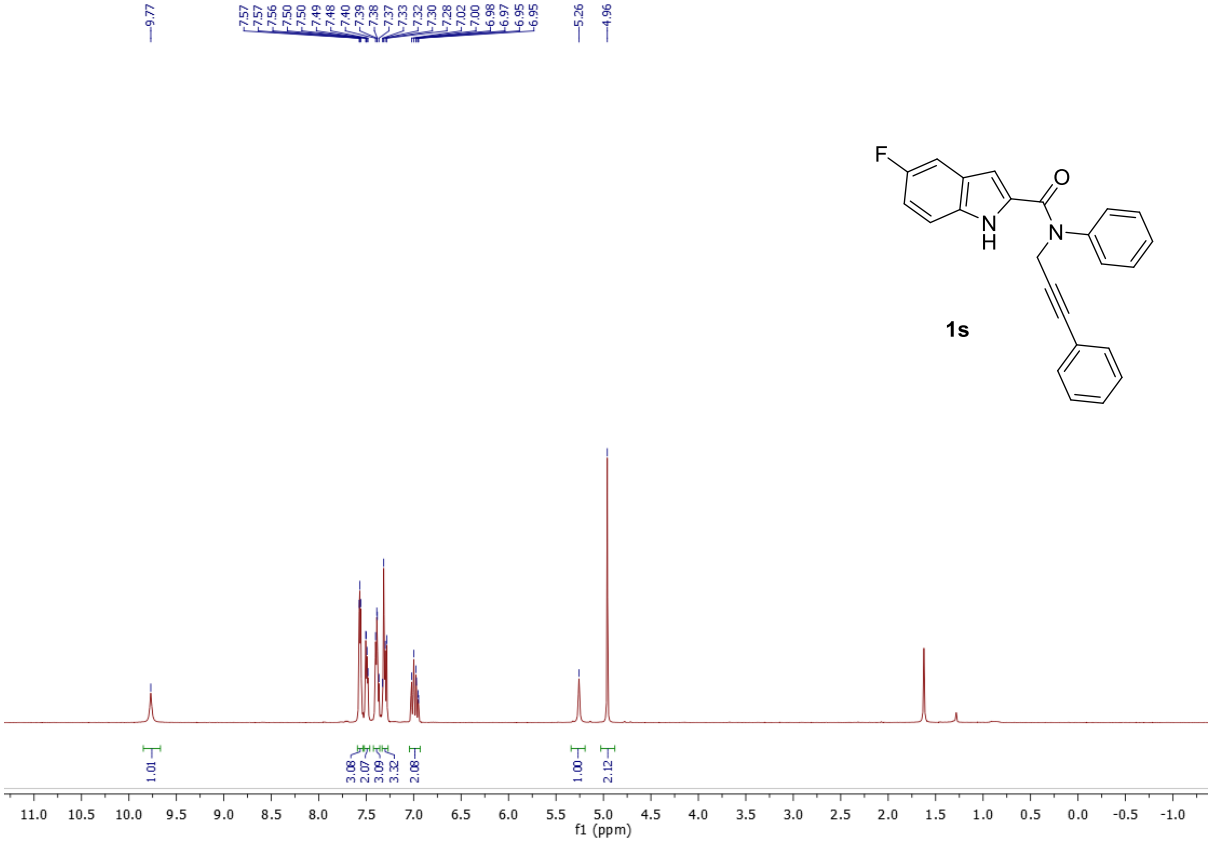


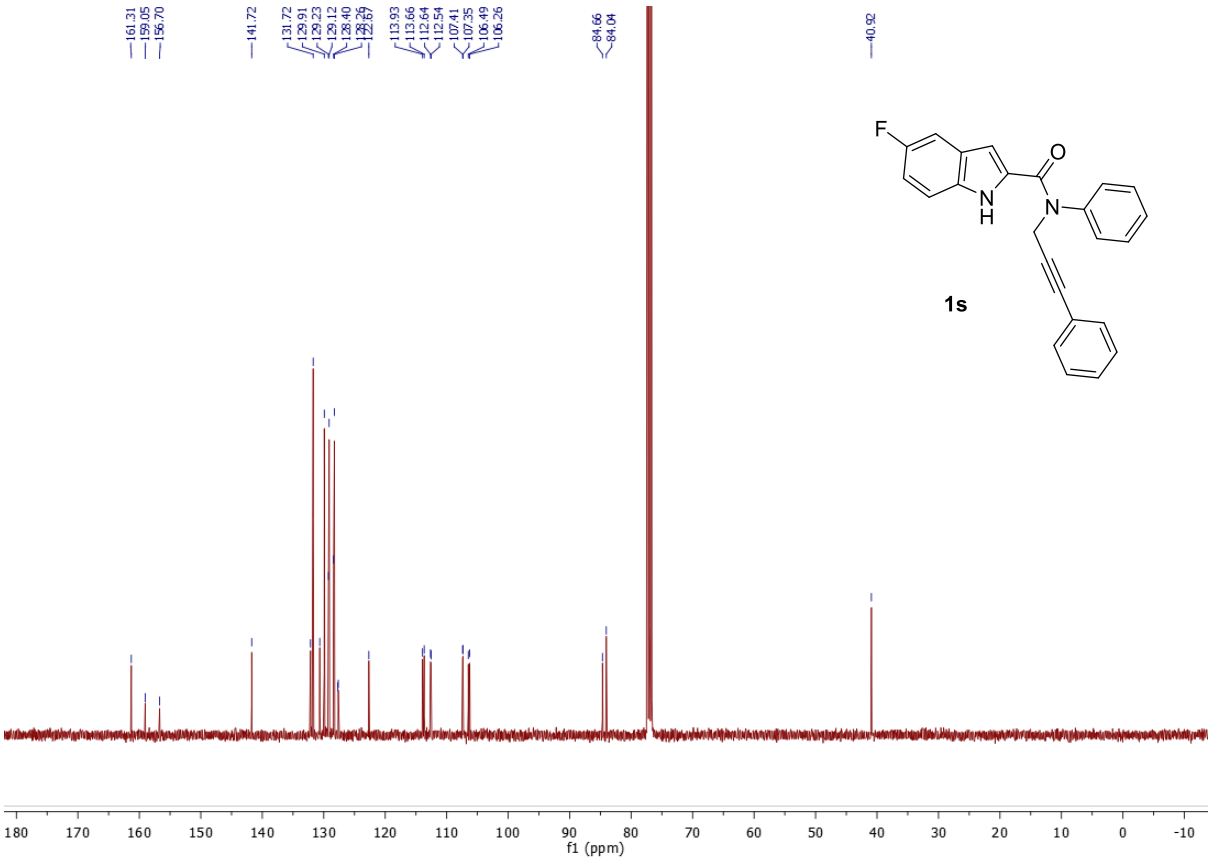
^{1}H and ^{13}C spectra of **1r**



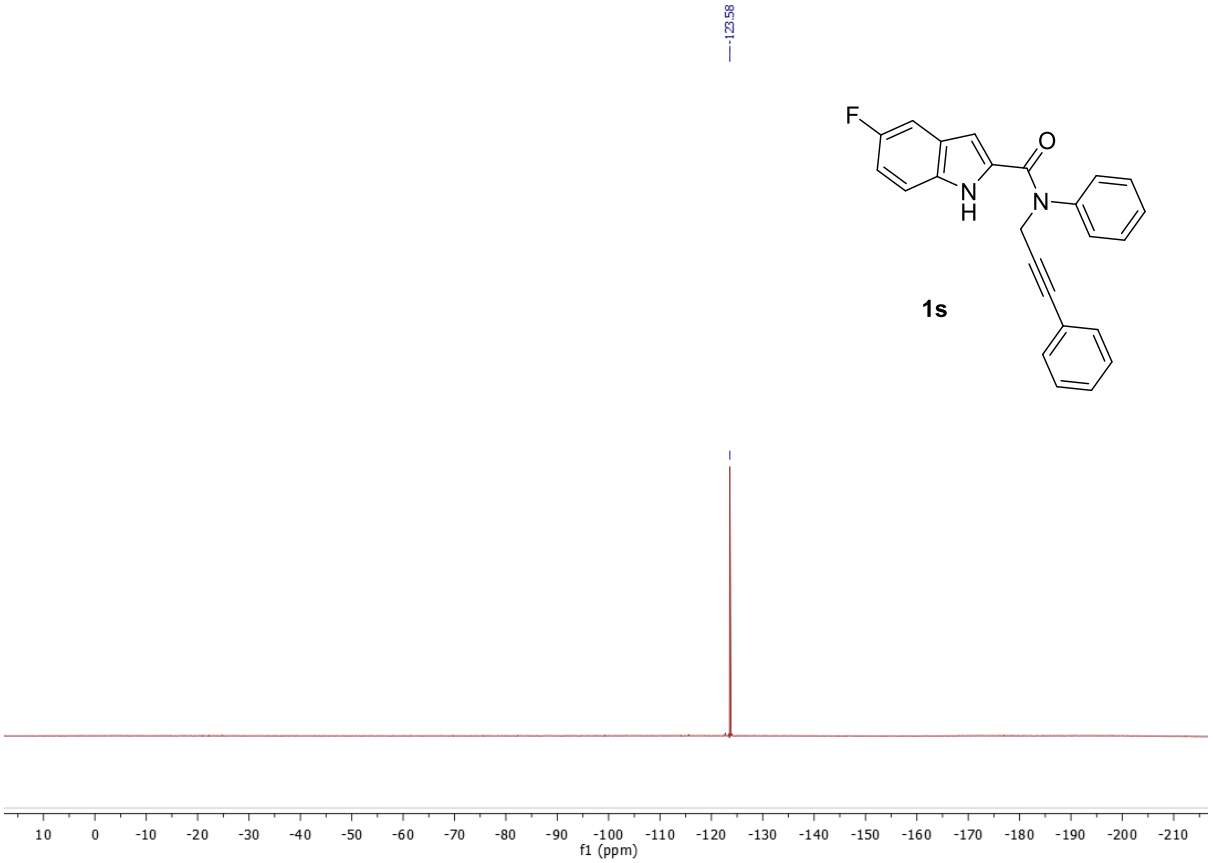


^{1}H and ^{13}C spectra of **1s**

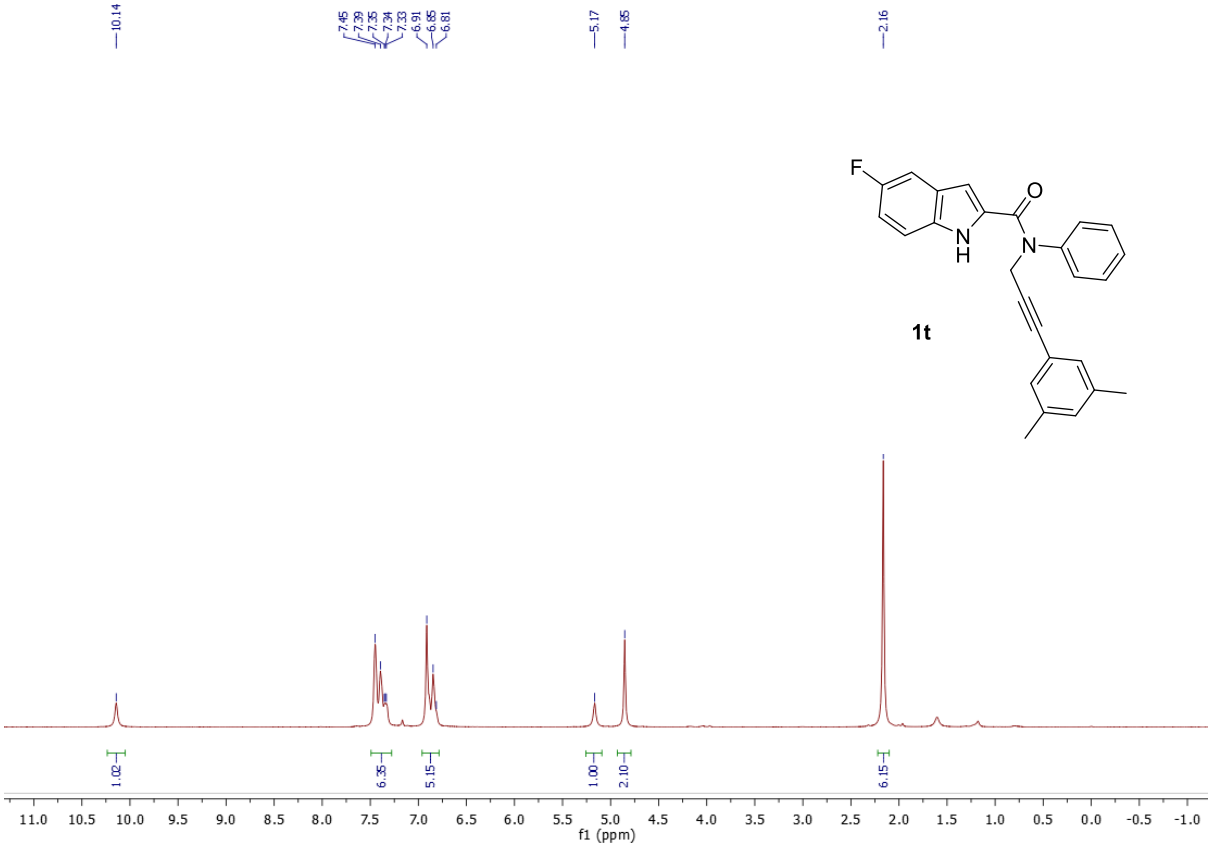


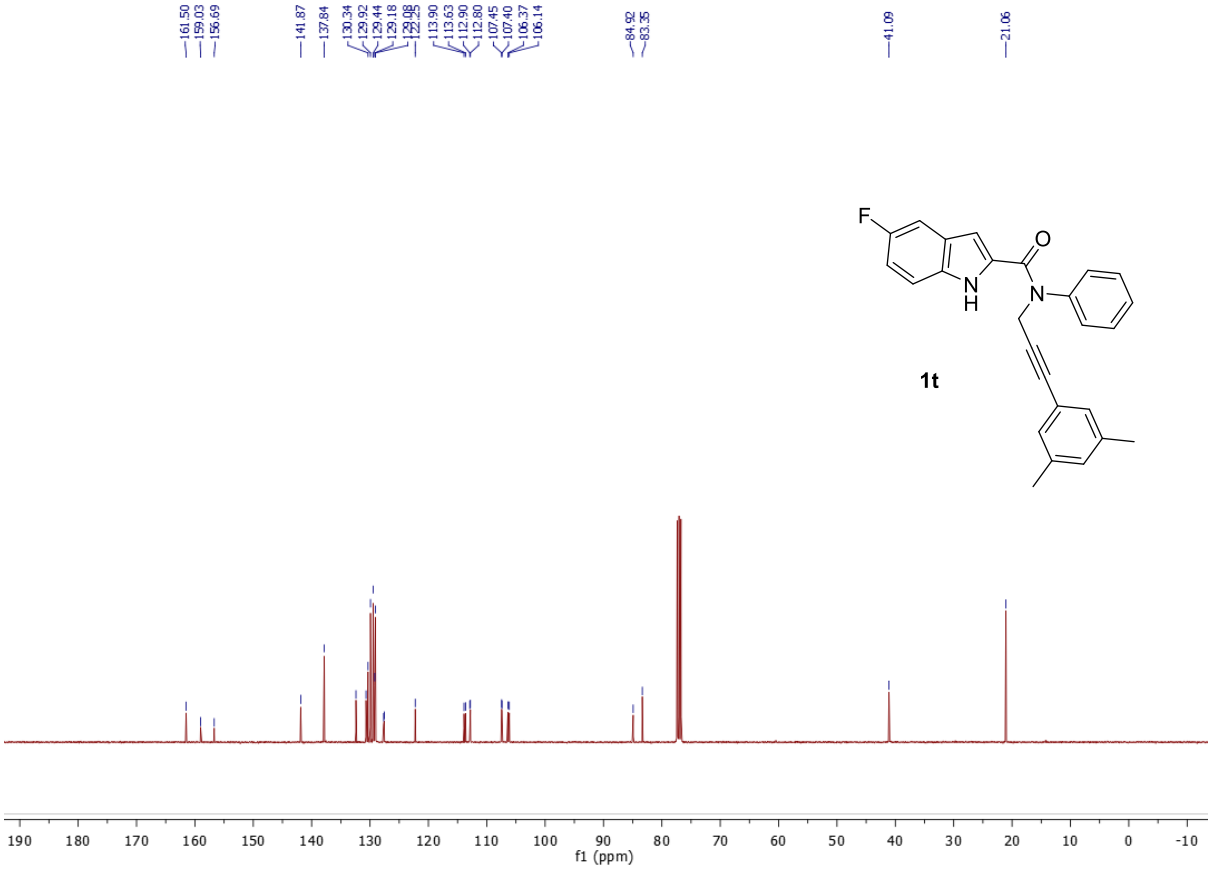


^{19}F spectra of **1s**

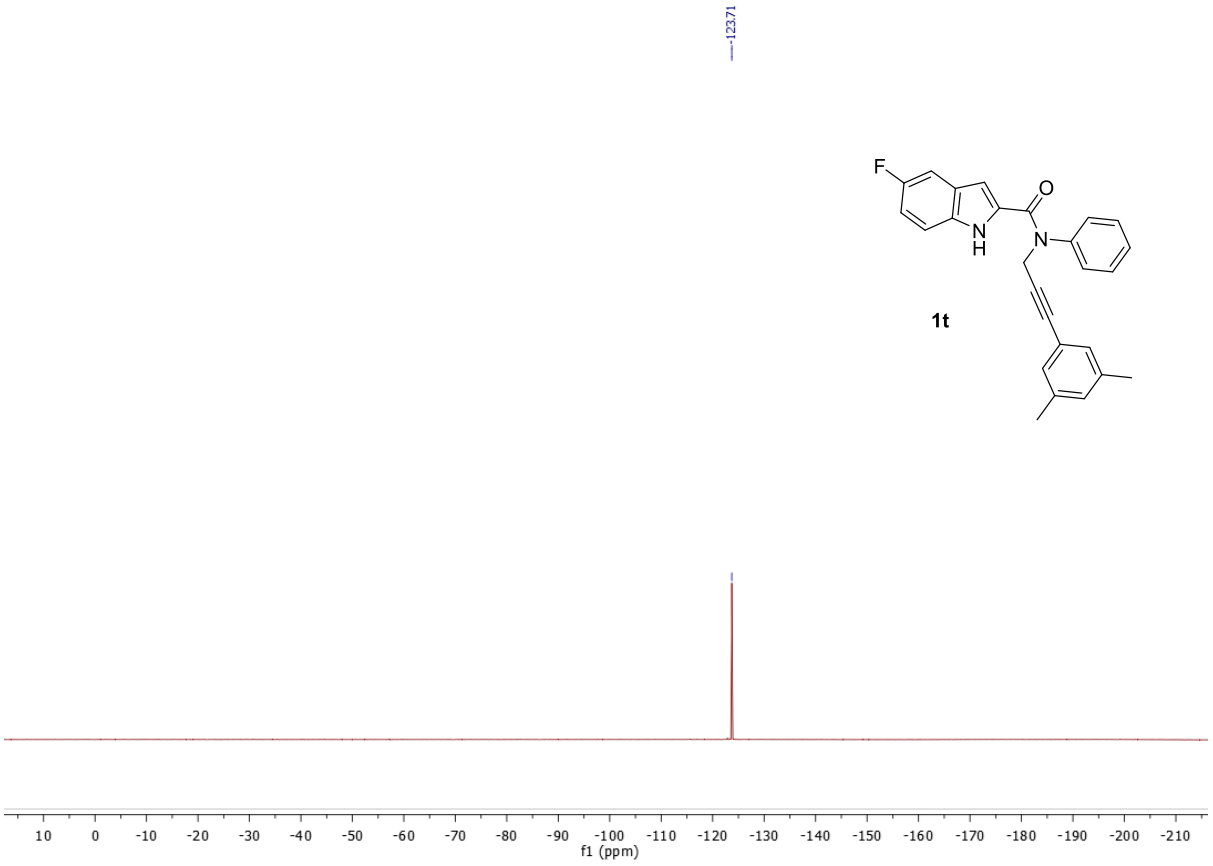


^{1}H and ^{13}C spectra of **1t**

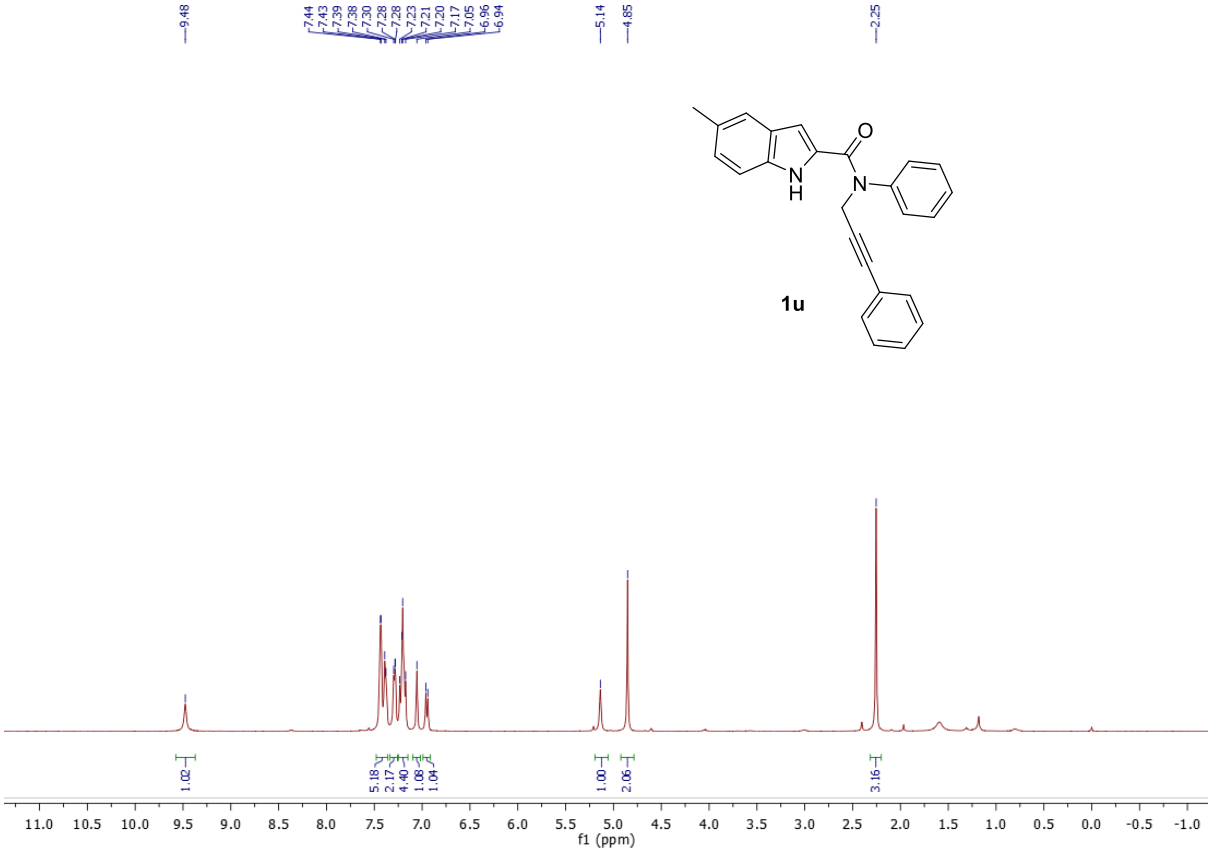


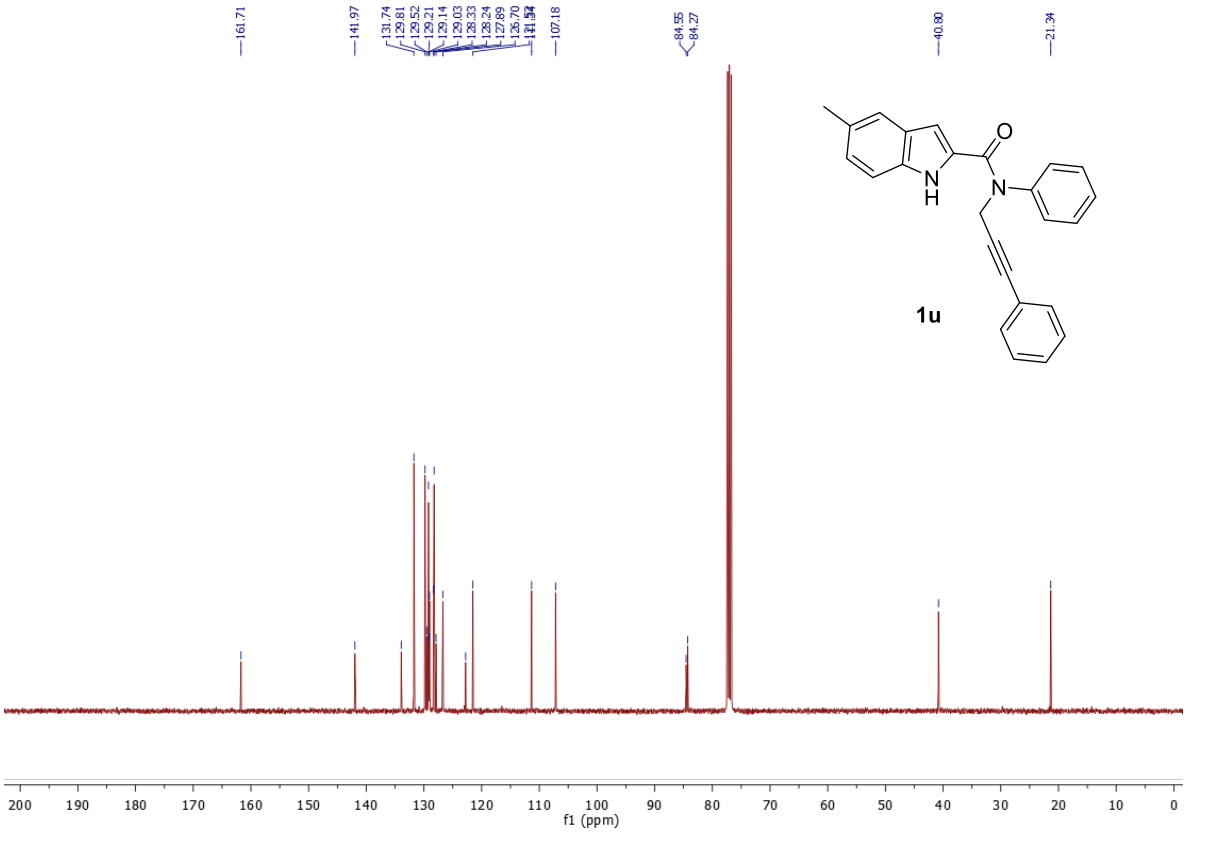


^{19}F spectra of **1t**

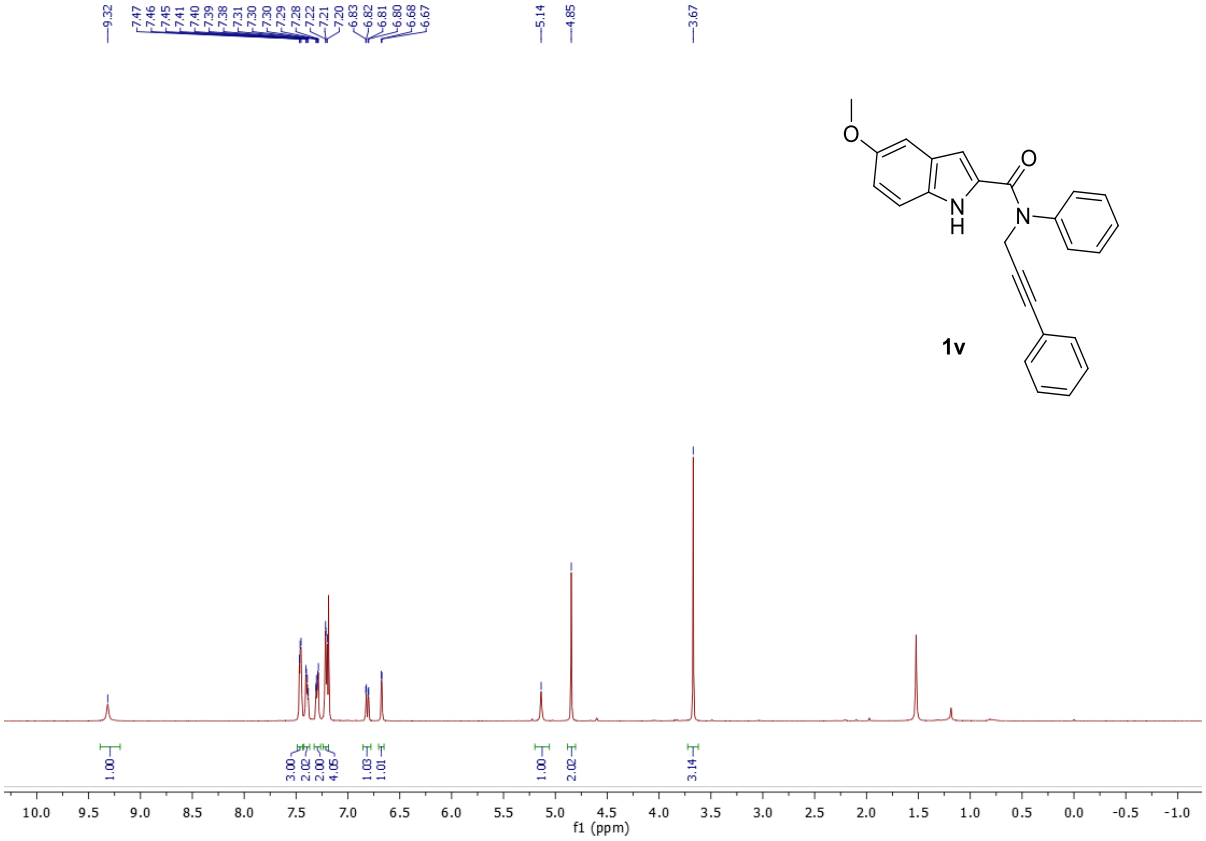


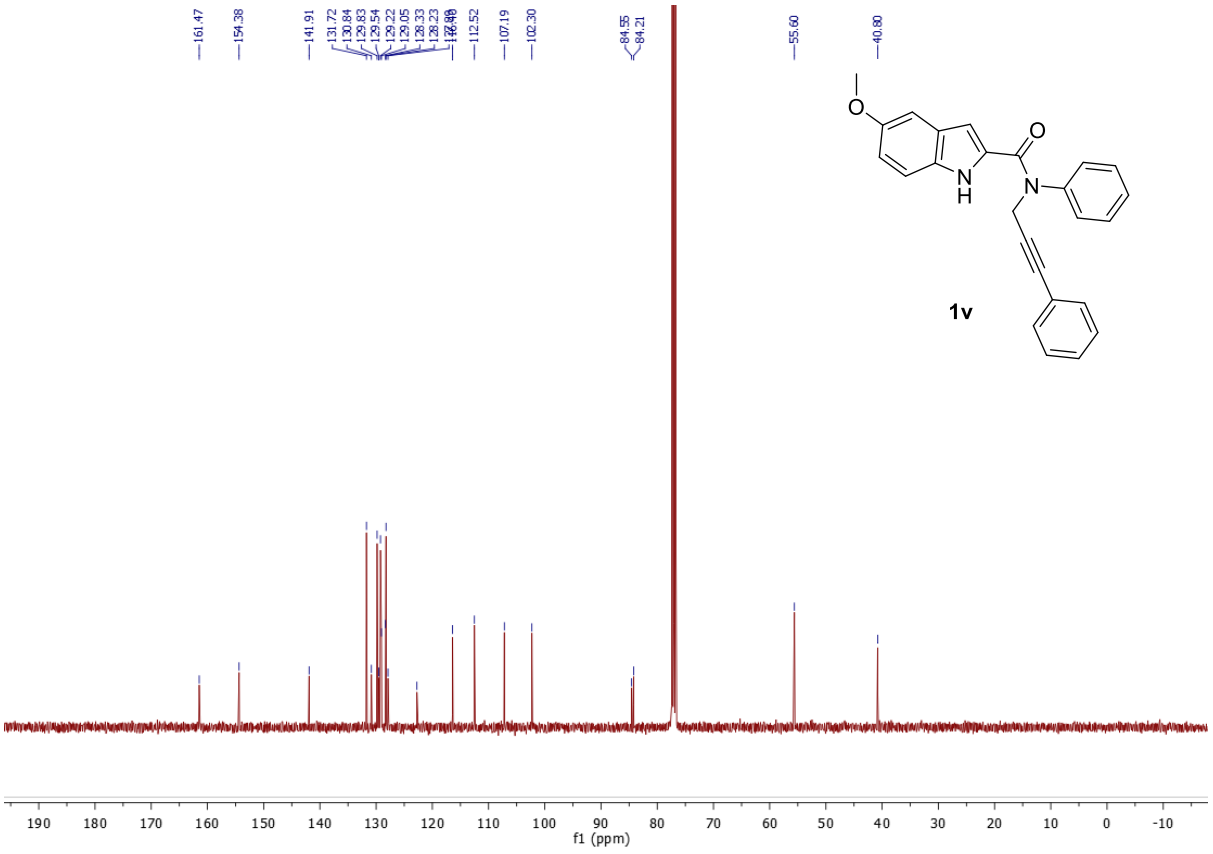
^{1}H and ^{13}C spectra of **1u**



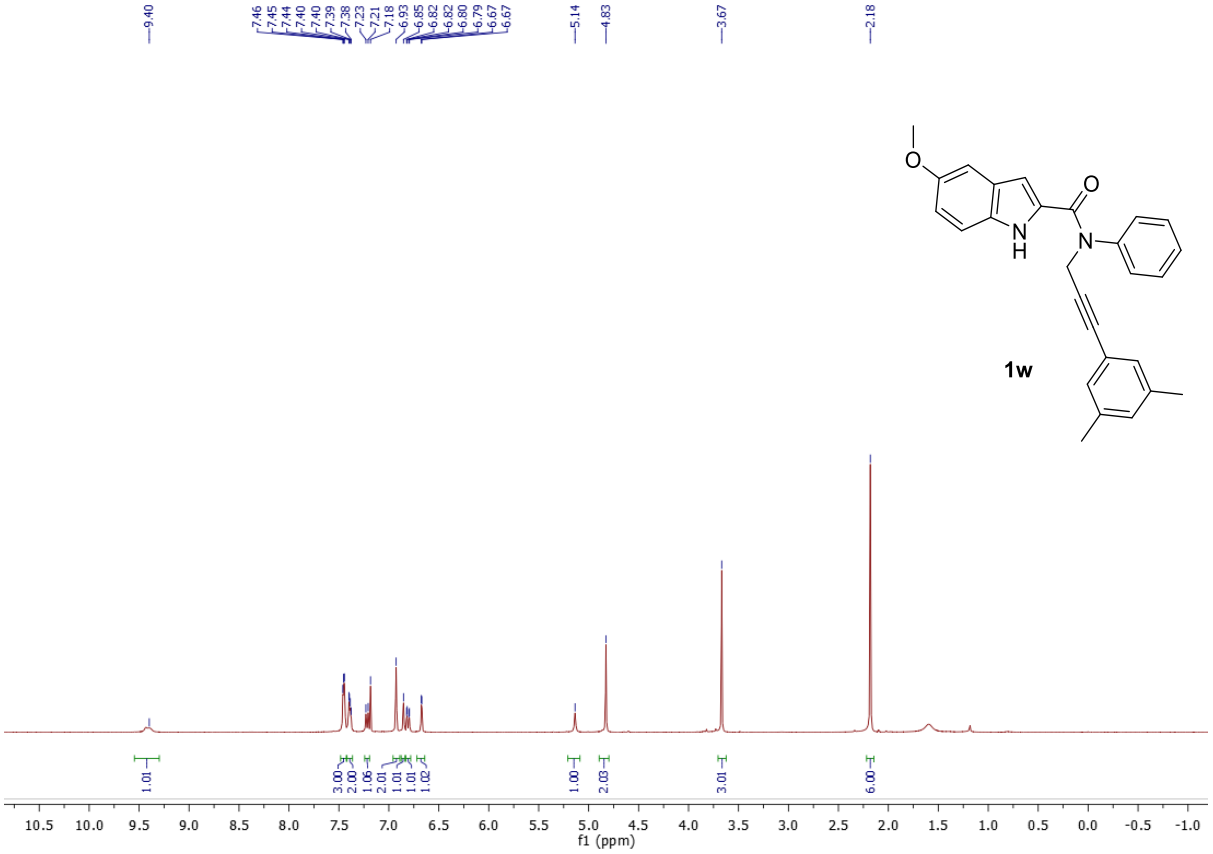


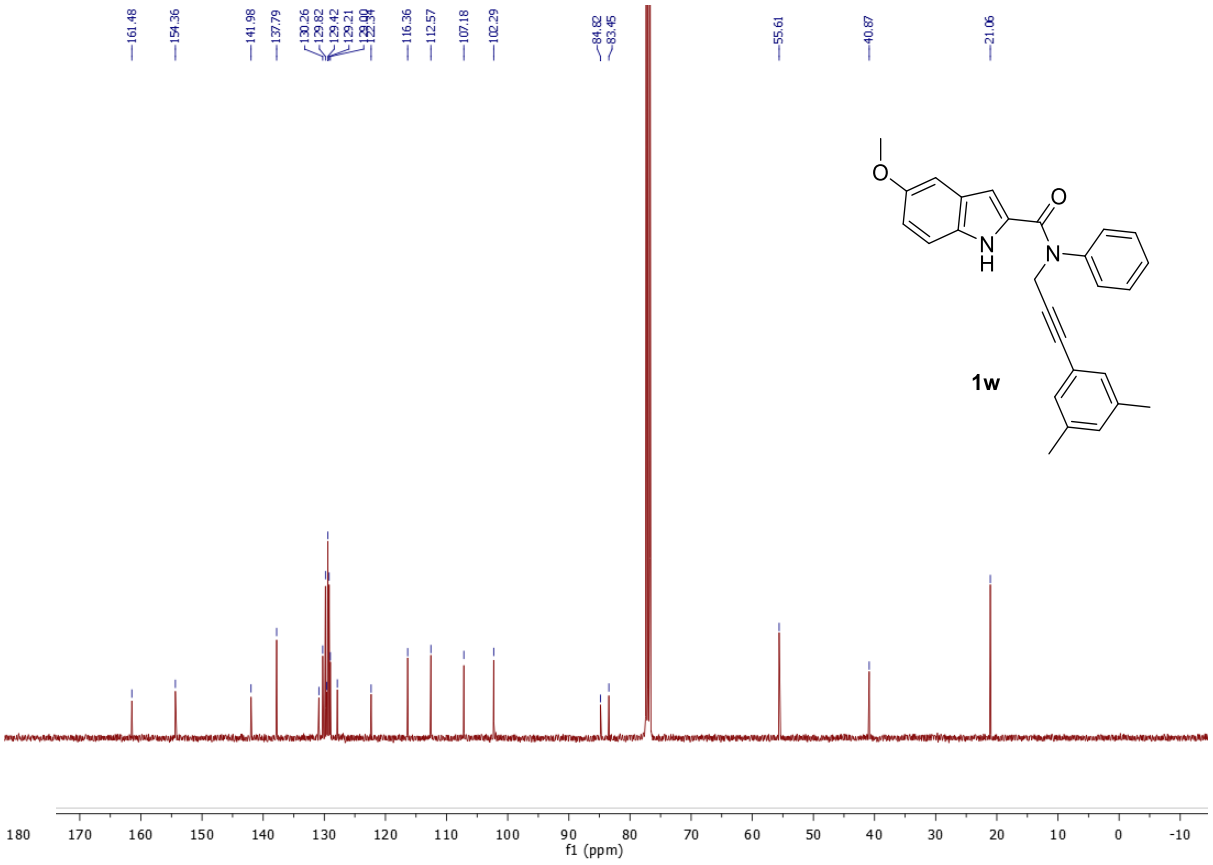
^{1}H and ^{13}C spectra of **1v**



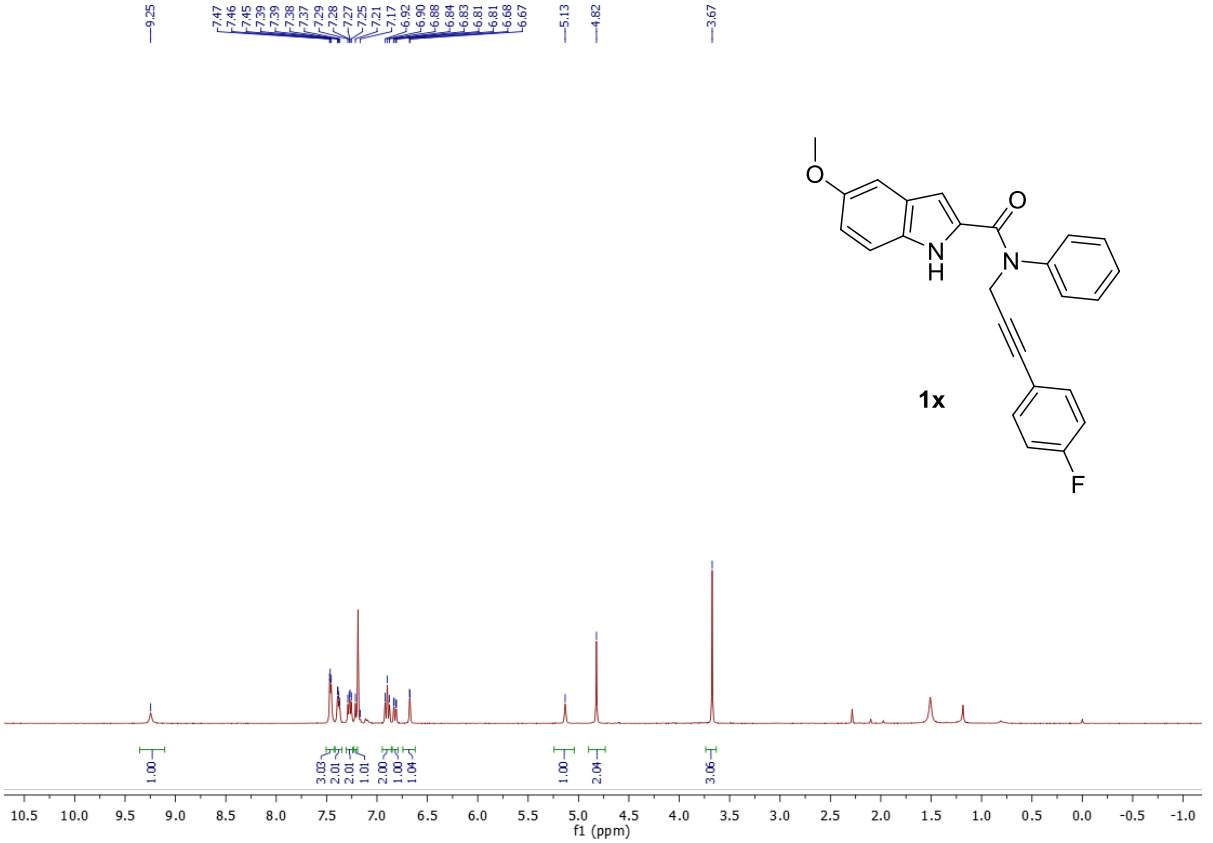


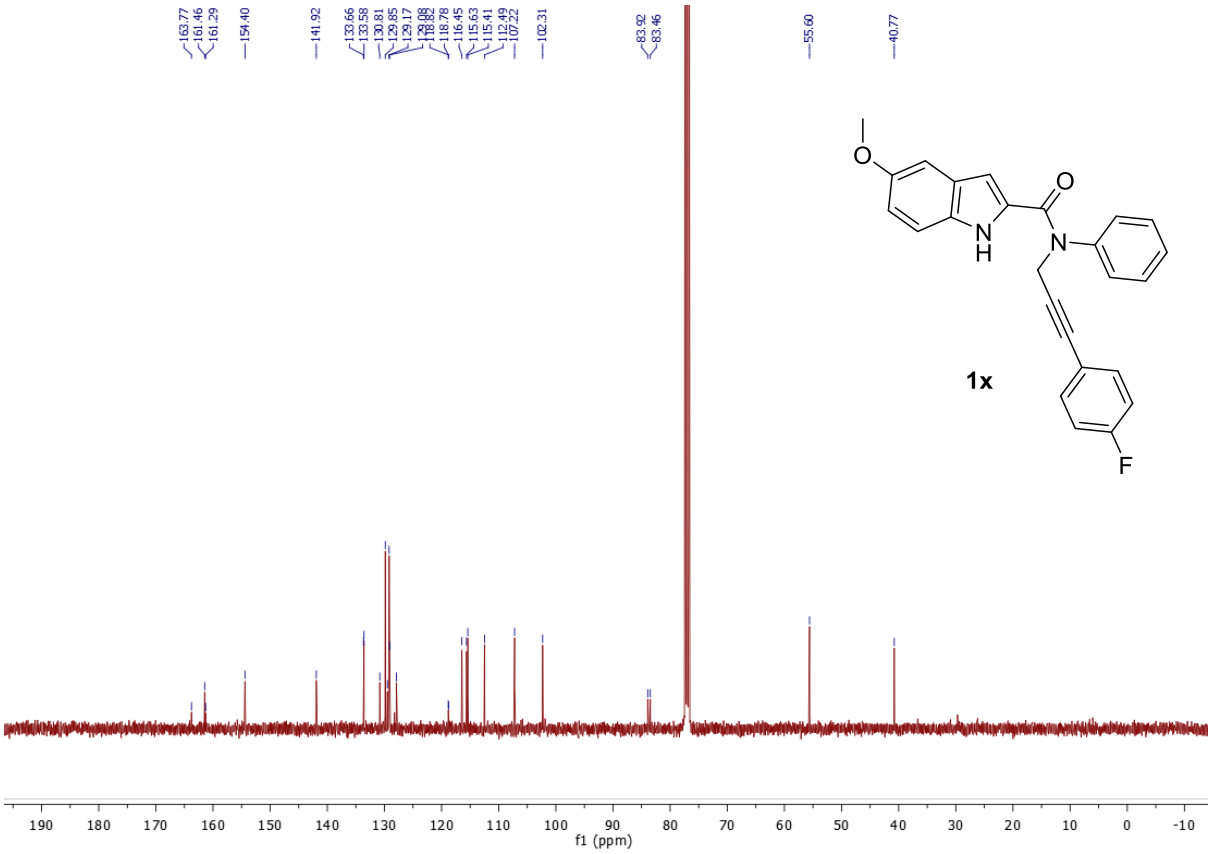
^{1}H and ^{13}C spectra of **1w**



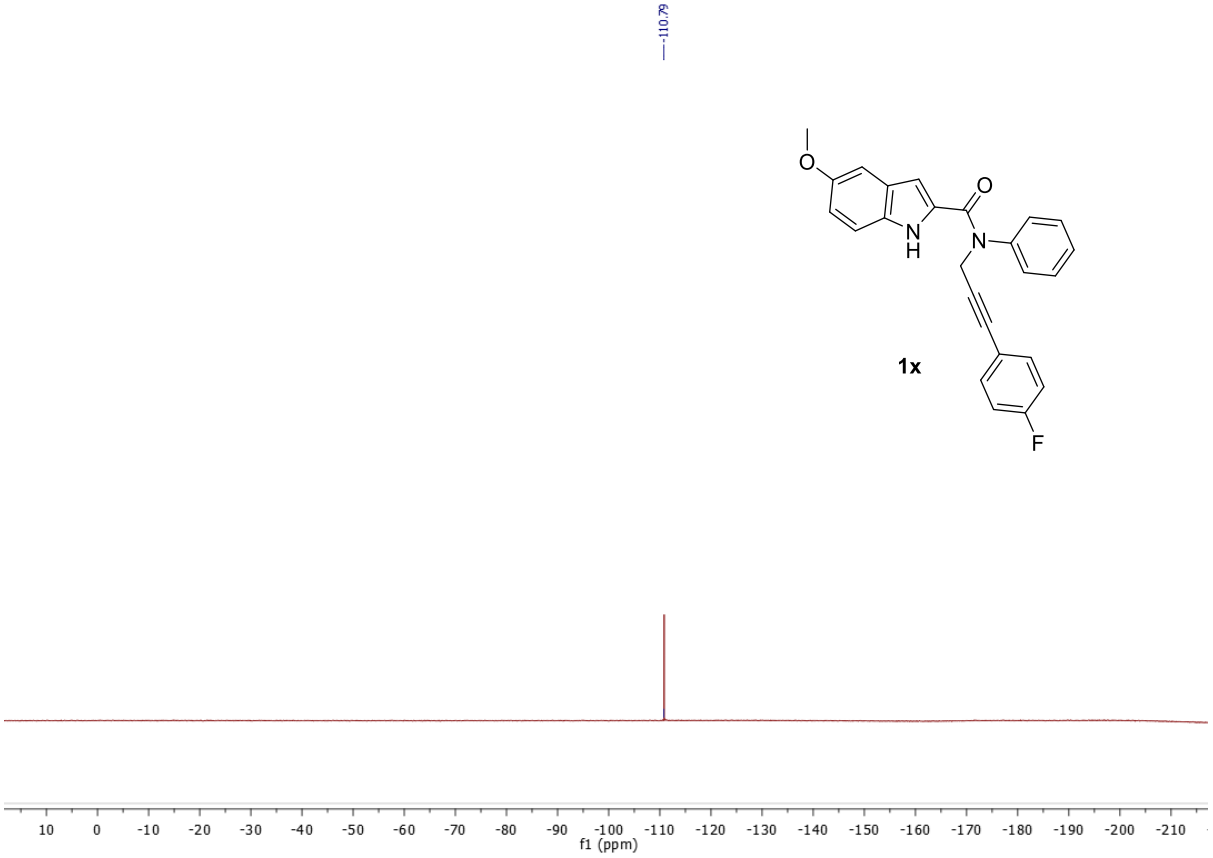


^{1}H and ^{13}C spectra of **1x**

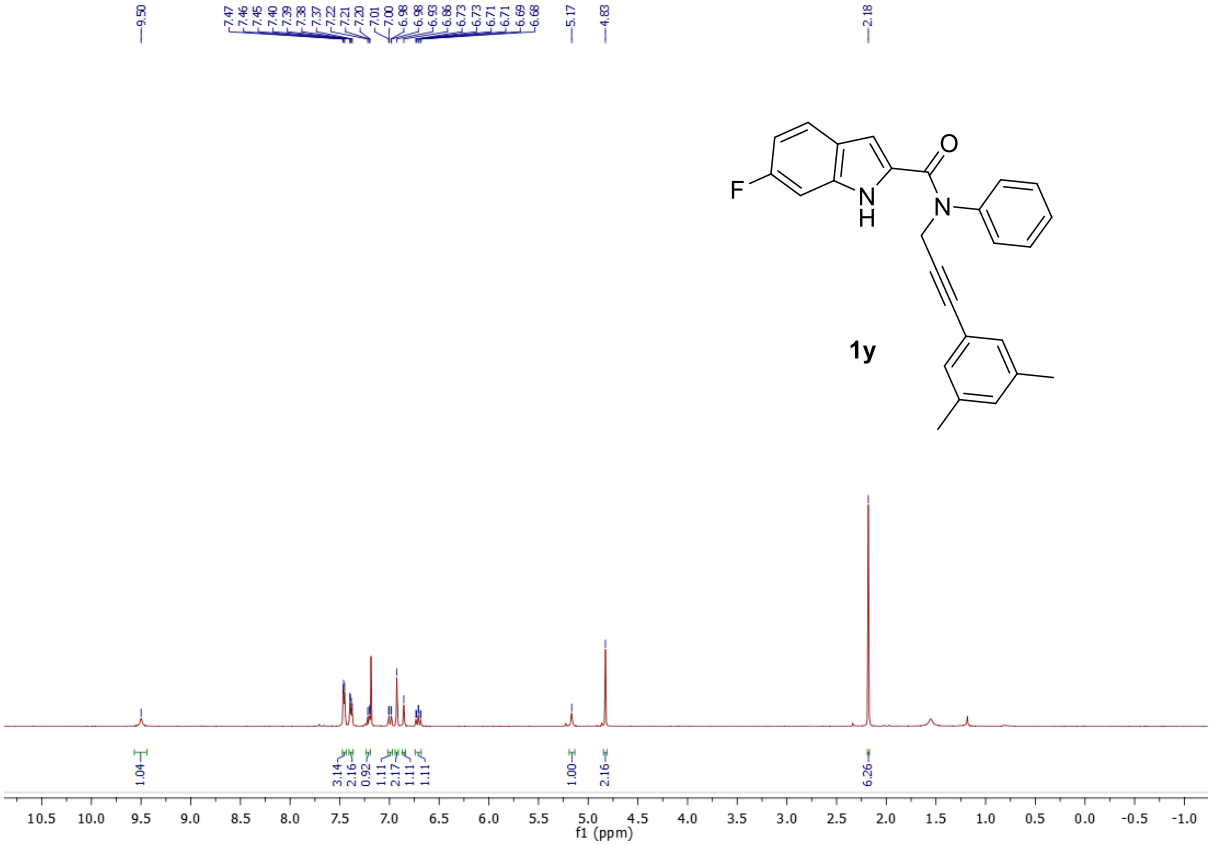


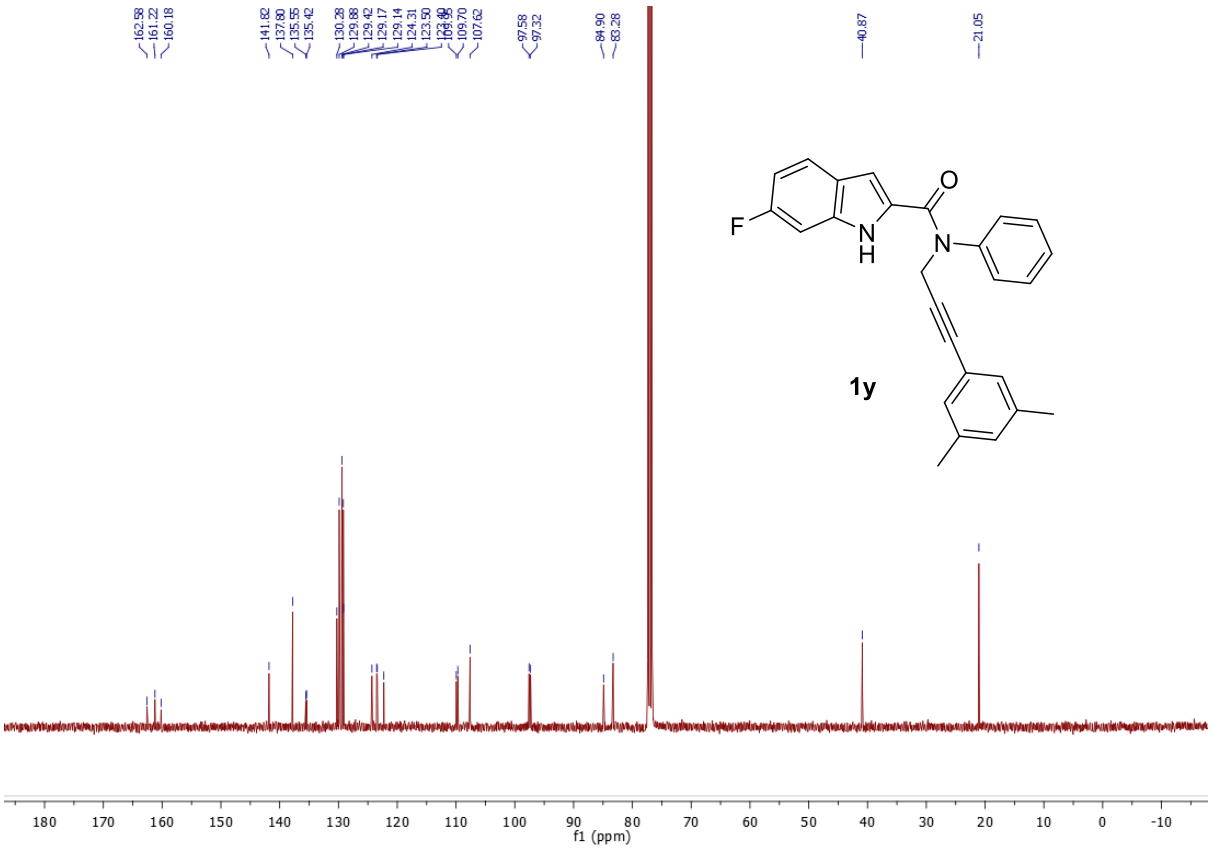


^{19}F spectra of **1x**

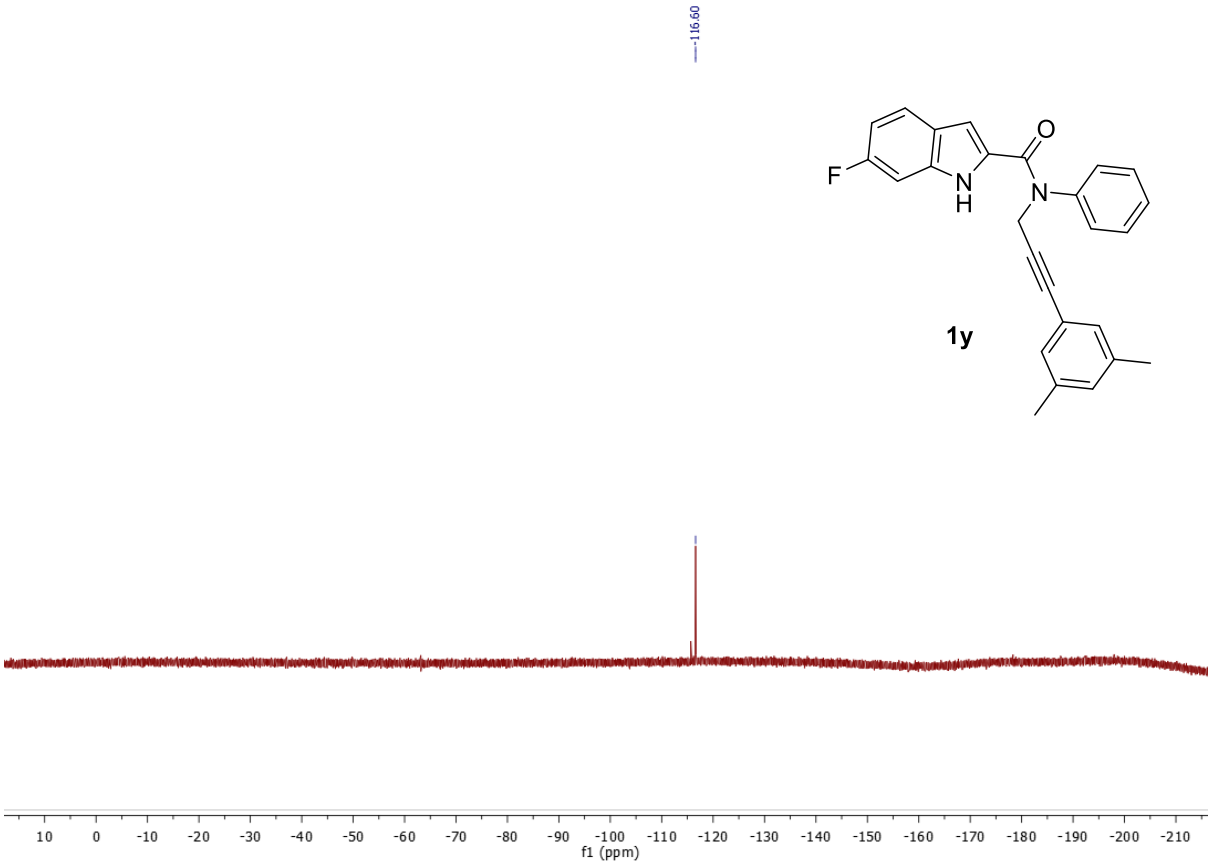


^{1}H and ^{13}C spectra of **1y**

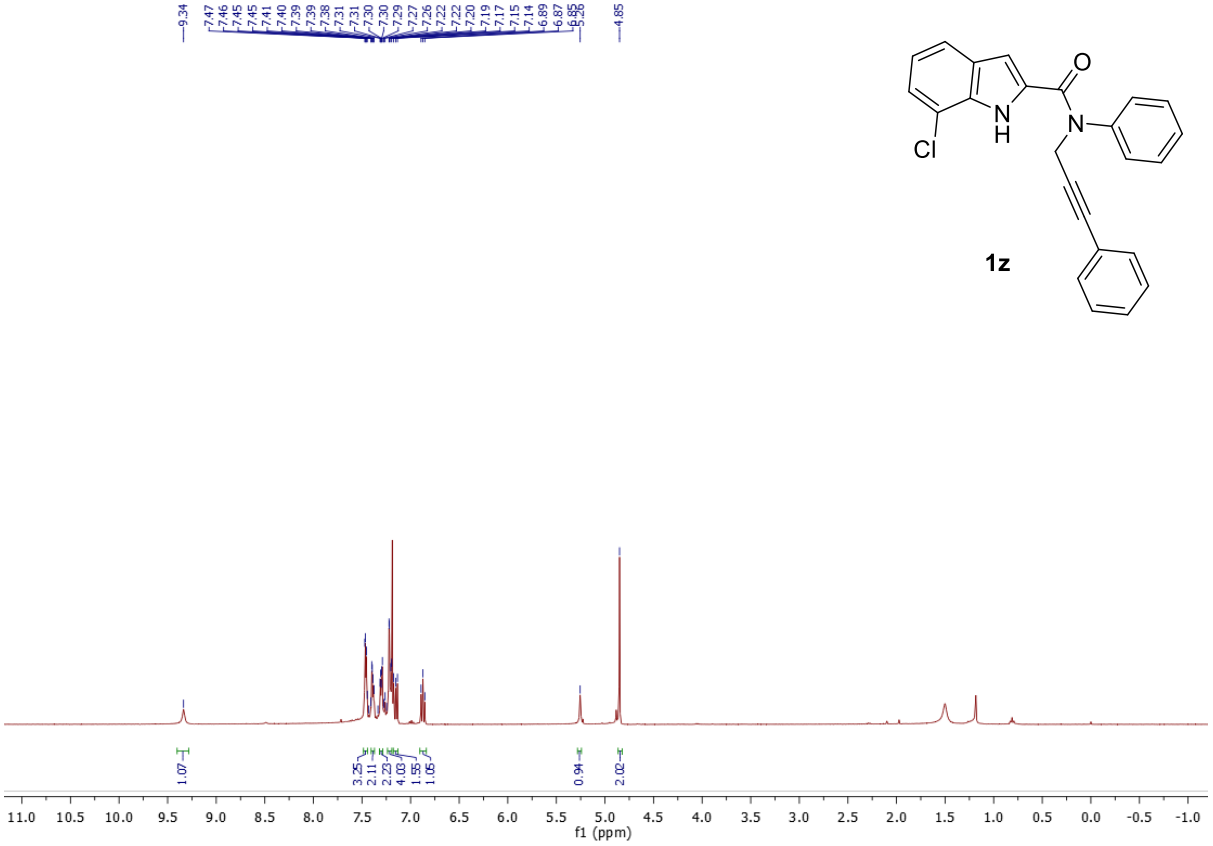


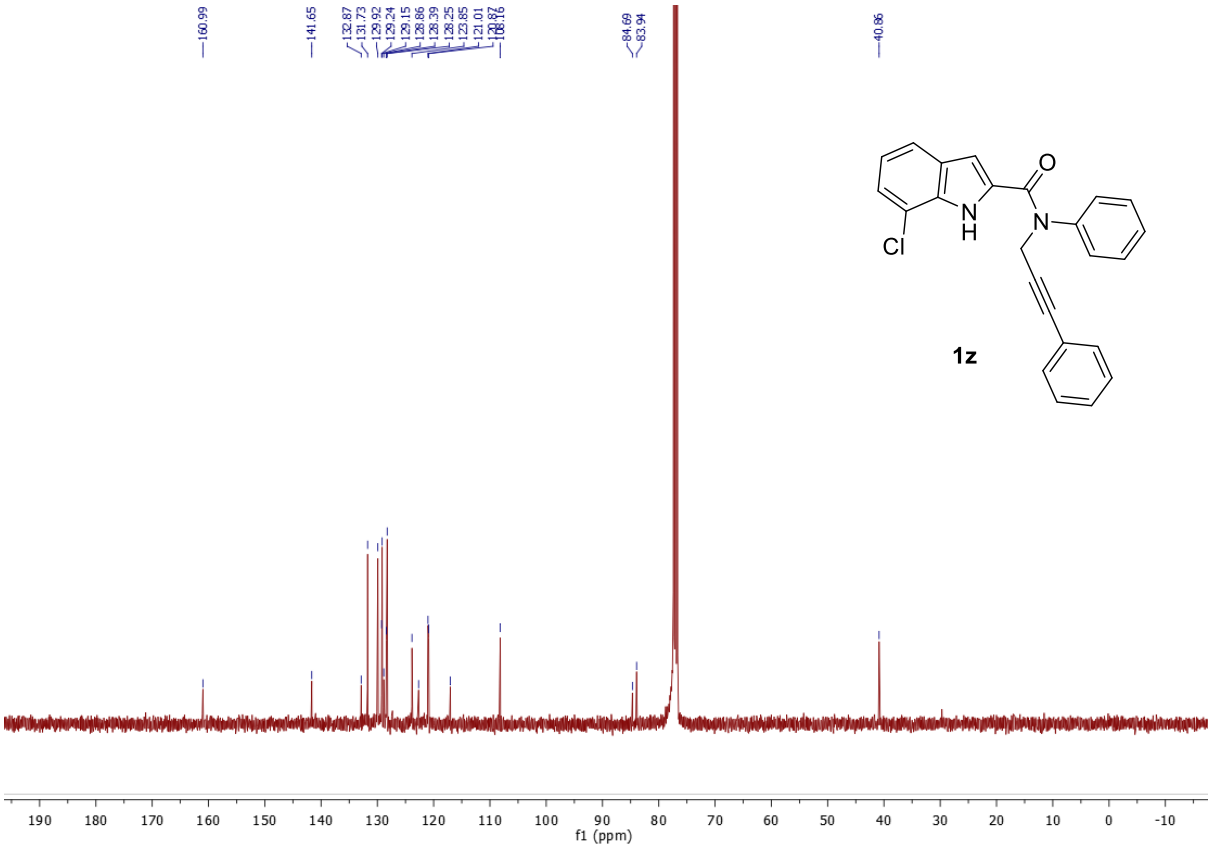


^{19}F spectra of **1y**

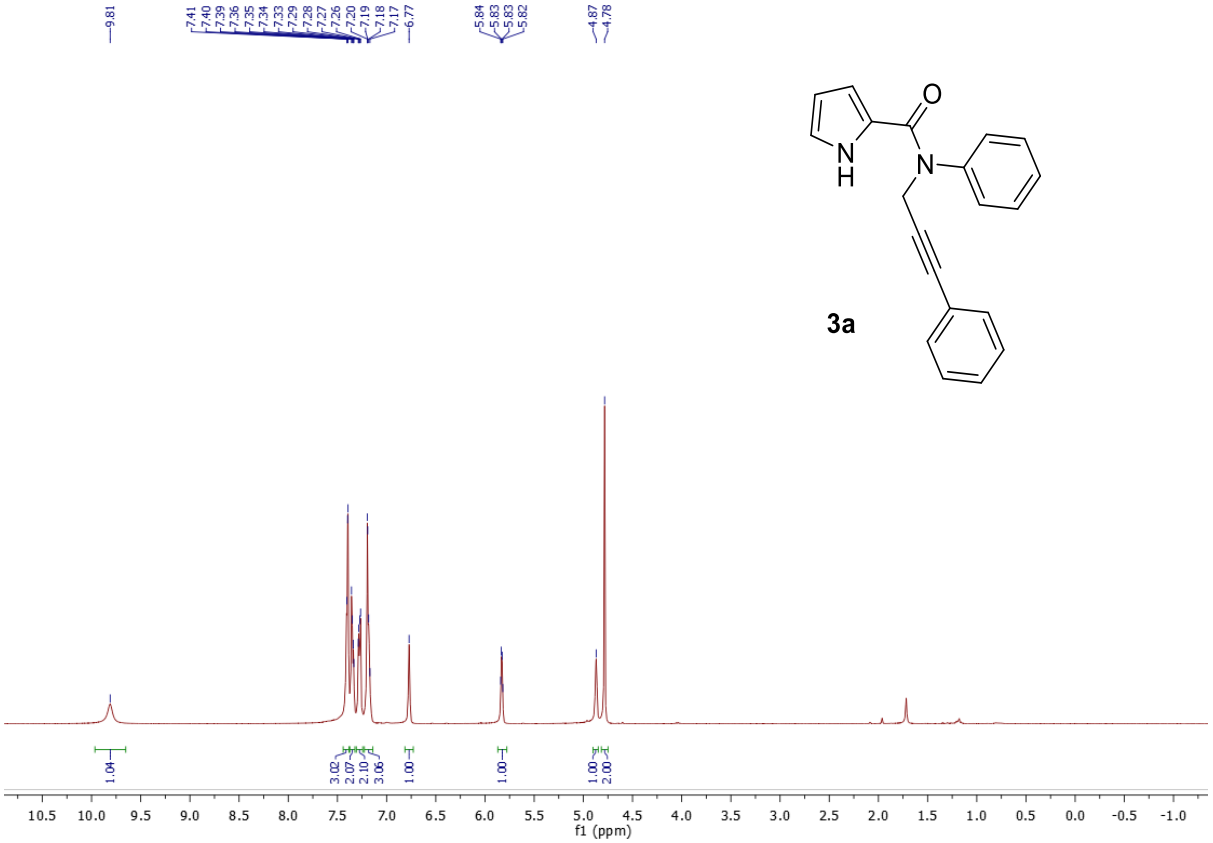


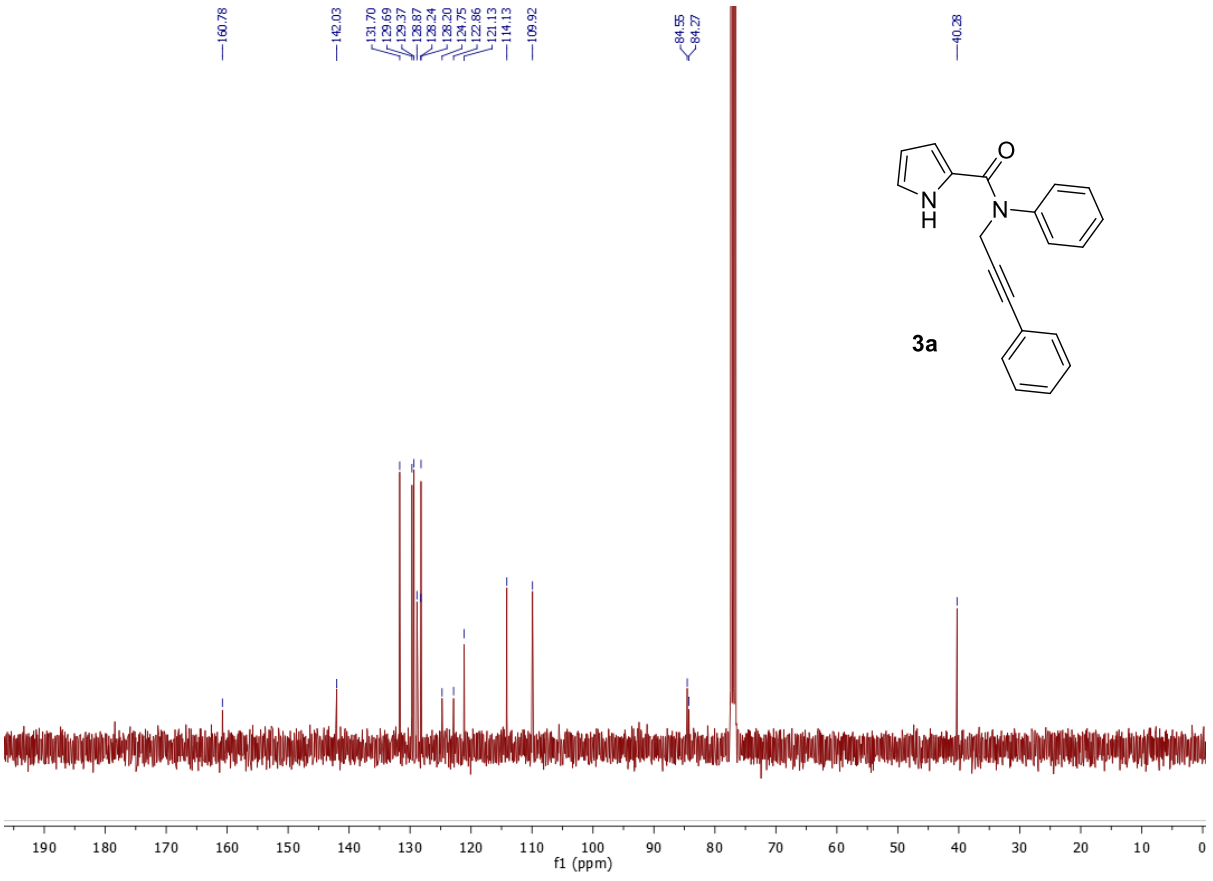
^{1}H and ^{13}C spectra of **1z**



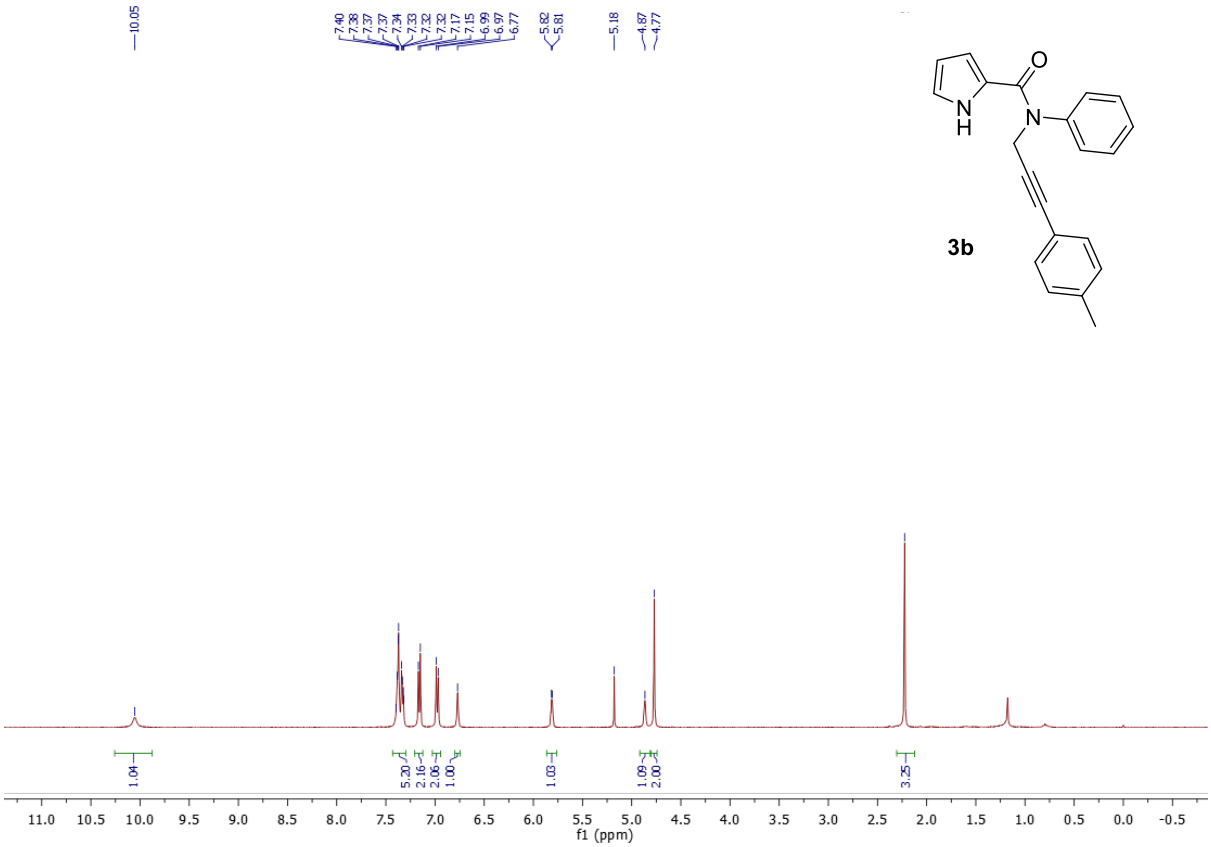


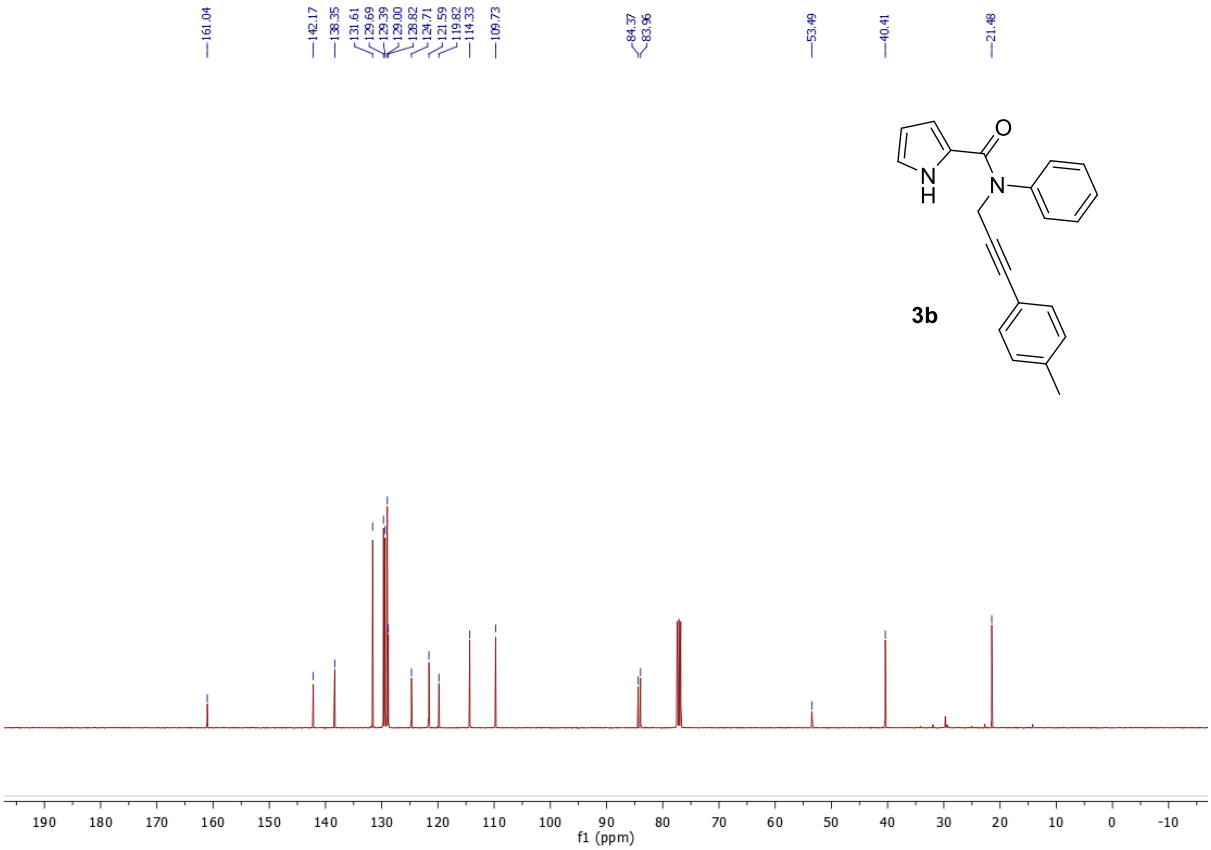
^{1}H and ^{13}C spectra of **3a**



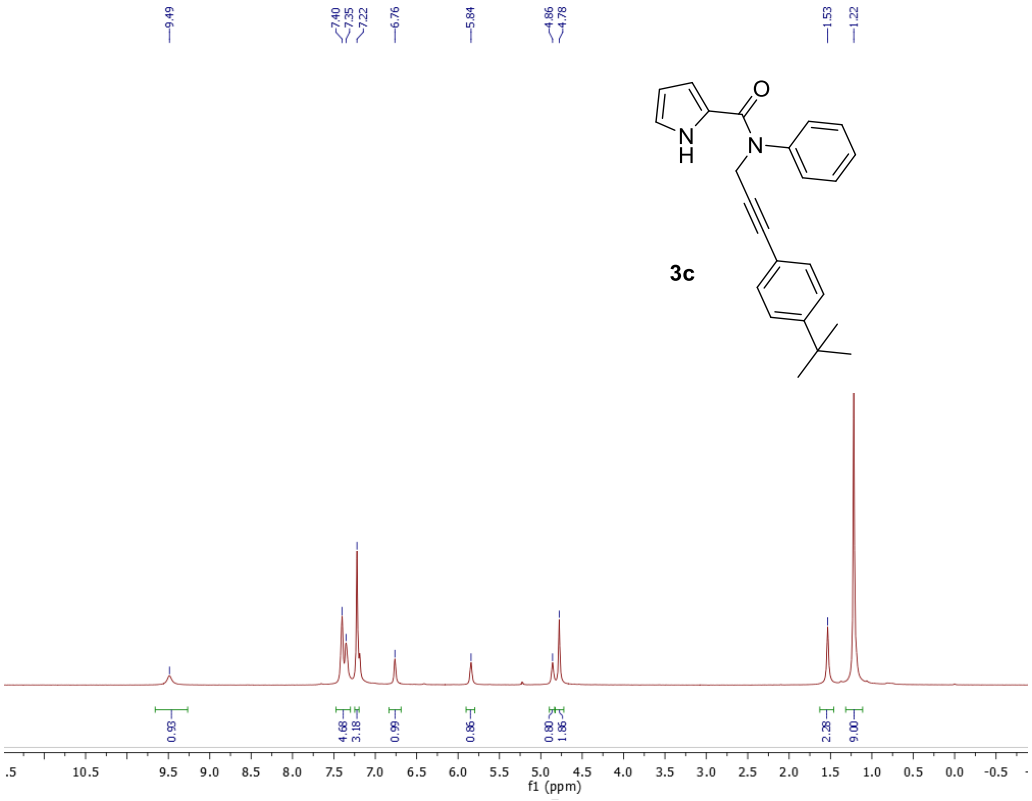


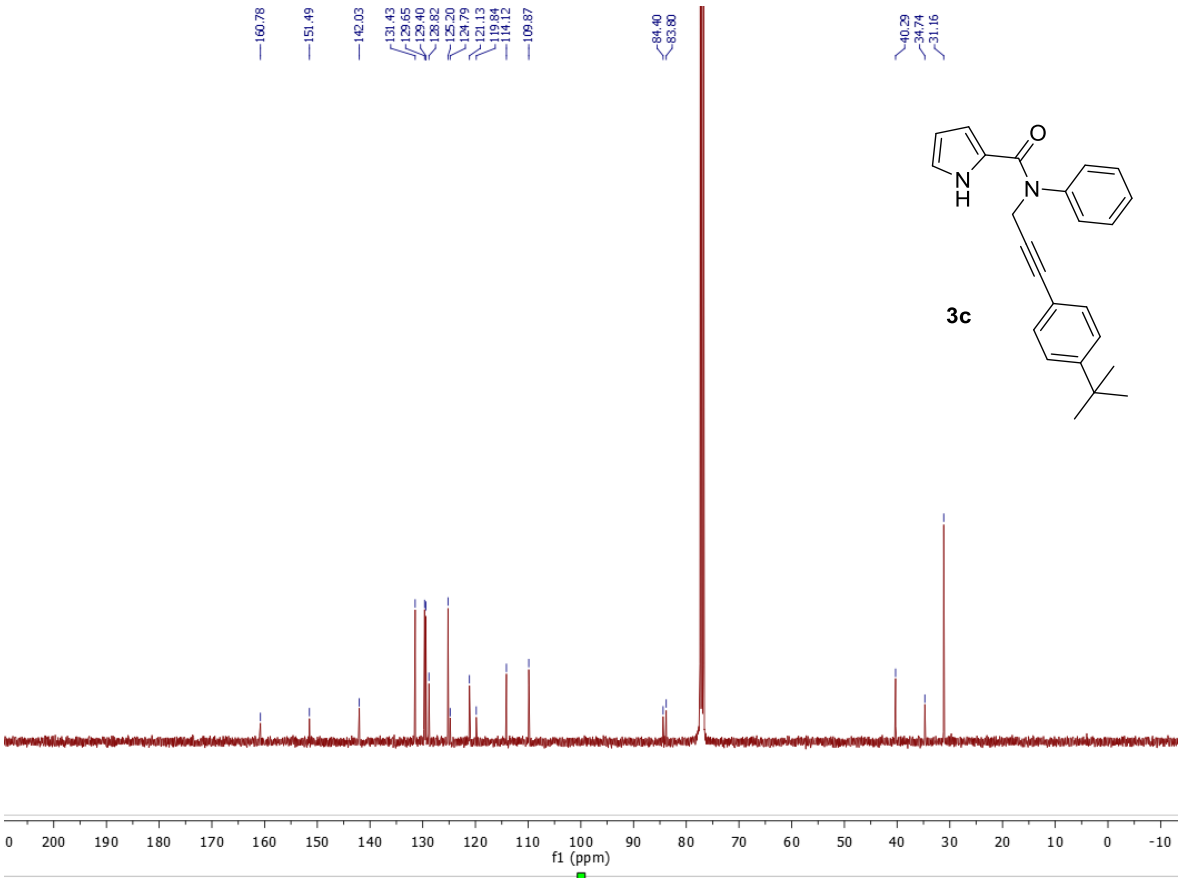
^{1}H and ^{13}C spectra of **3b**



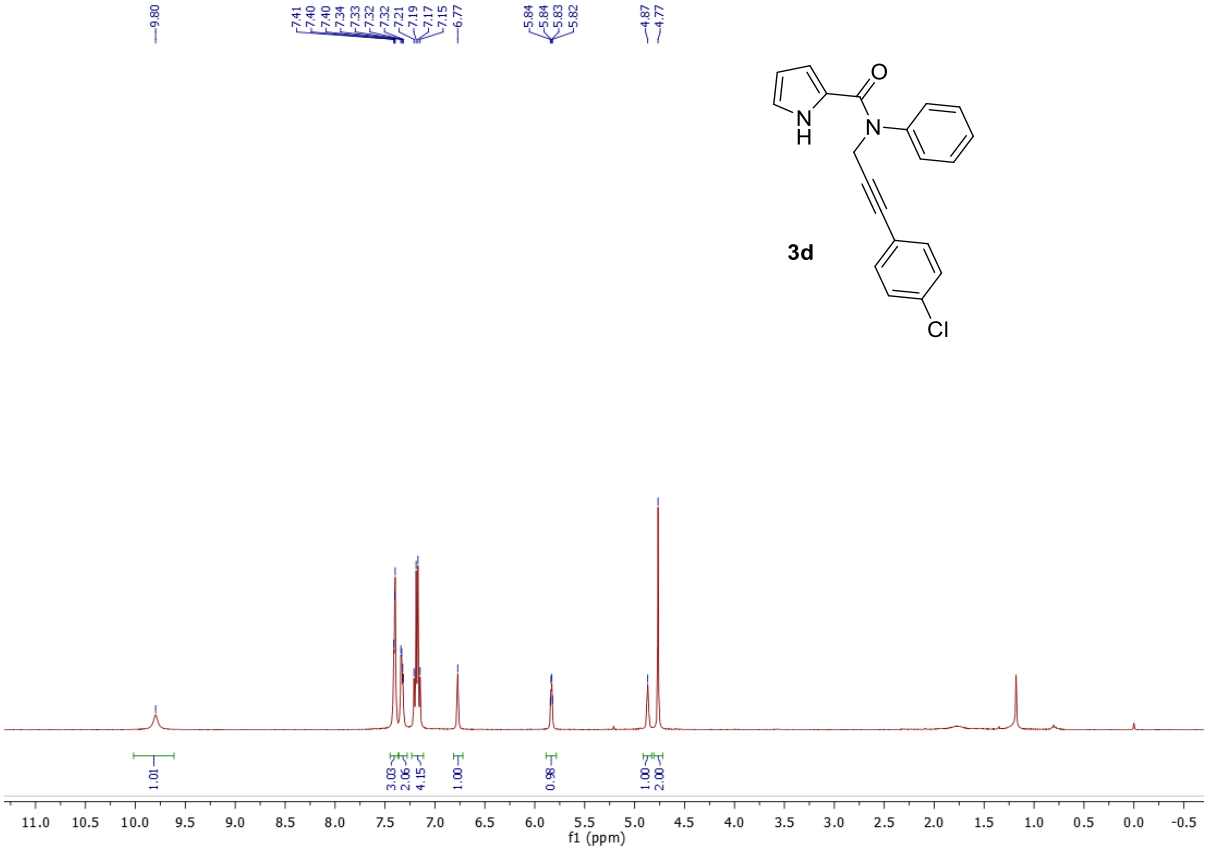


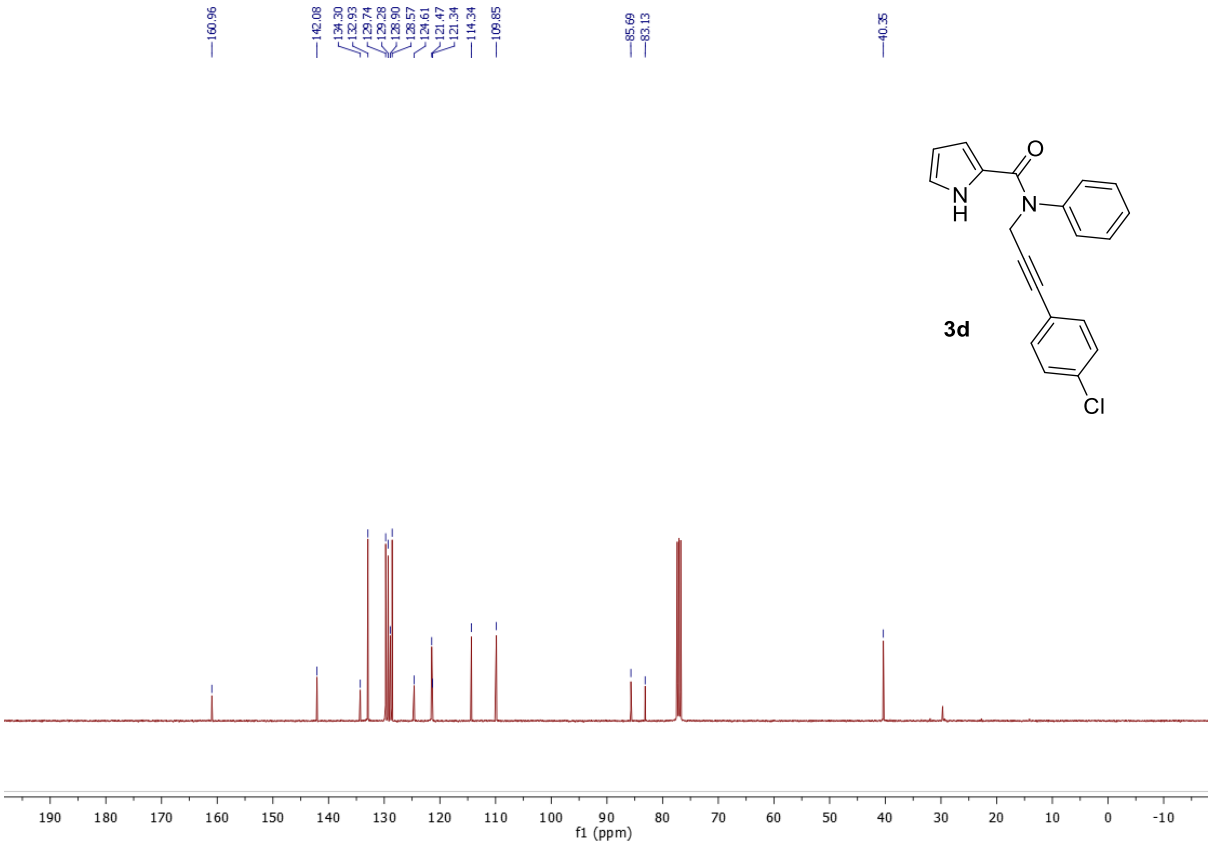
^{1}H and ^{13}C spectra of **3c**



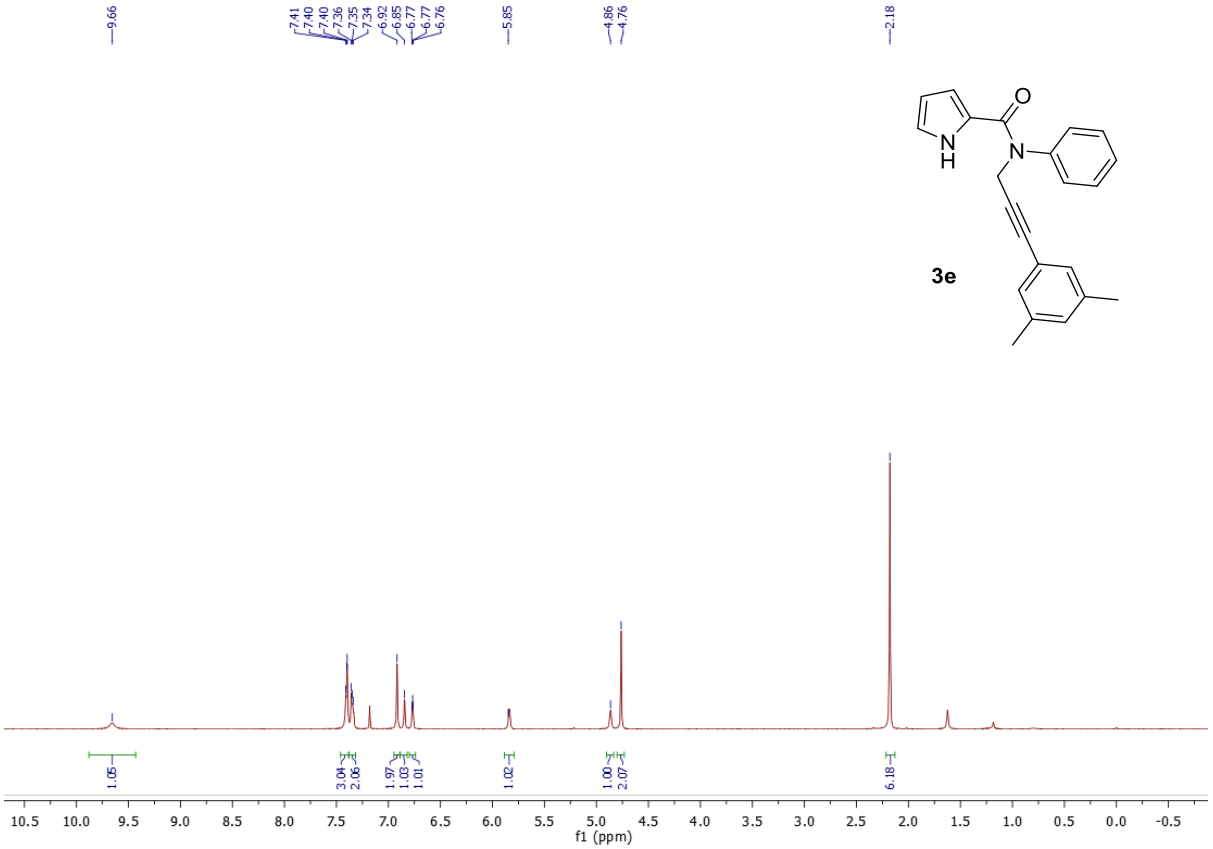


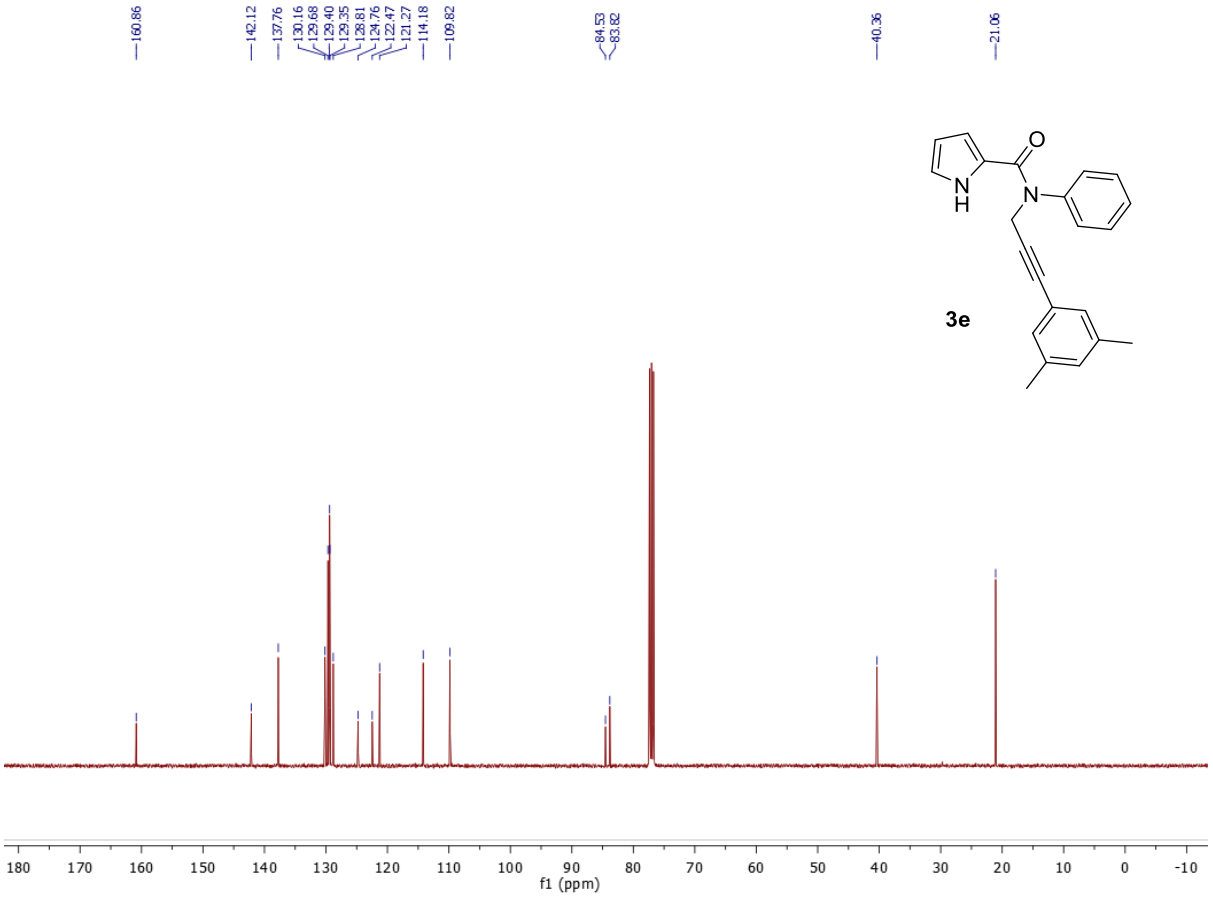
^{1}H and ^{13}C spectra of **3d**



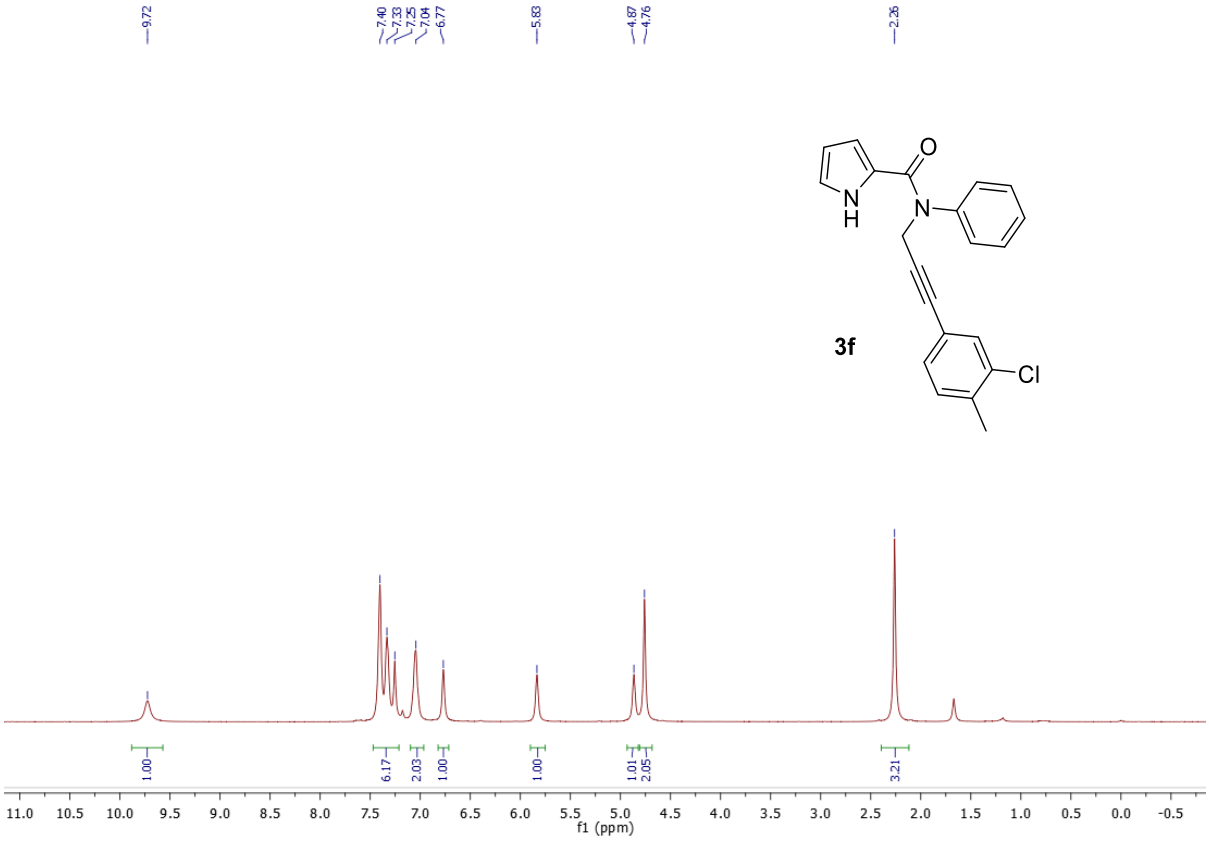


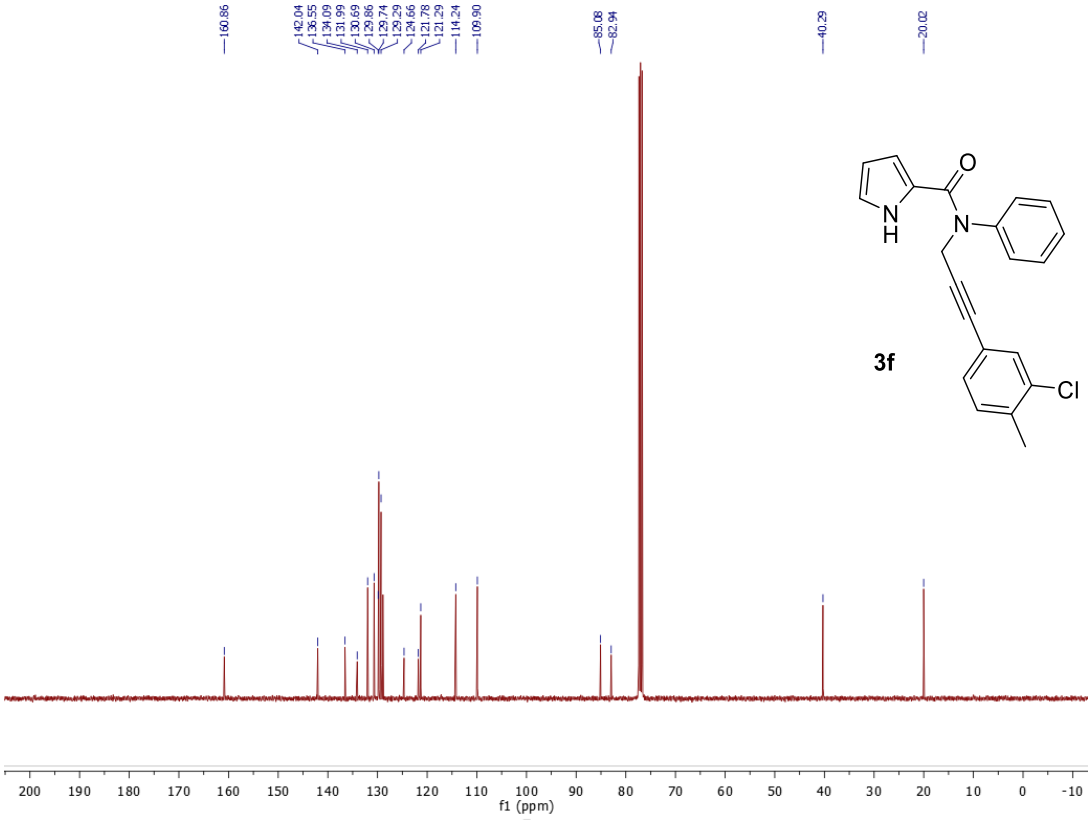
^{1}H and ^{13}C spectra of **3e**



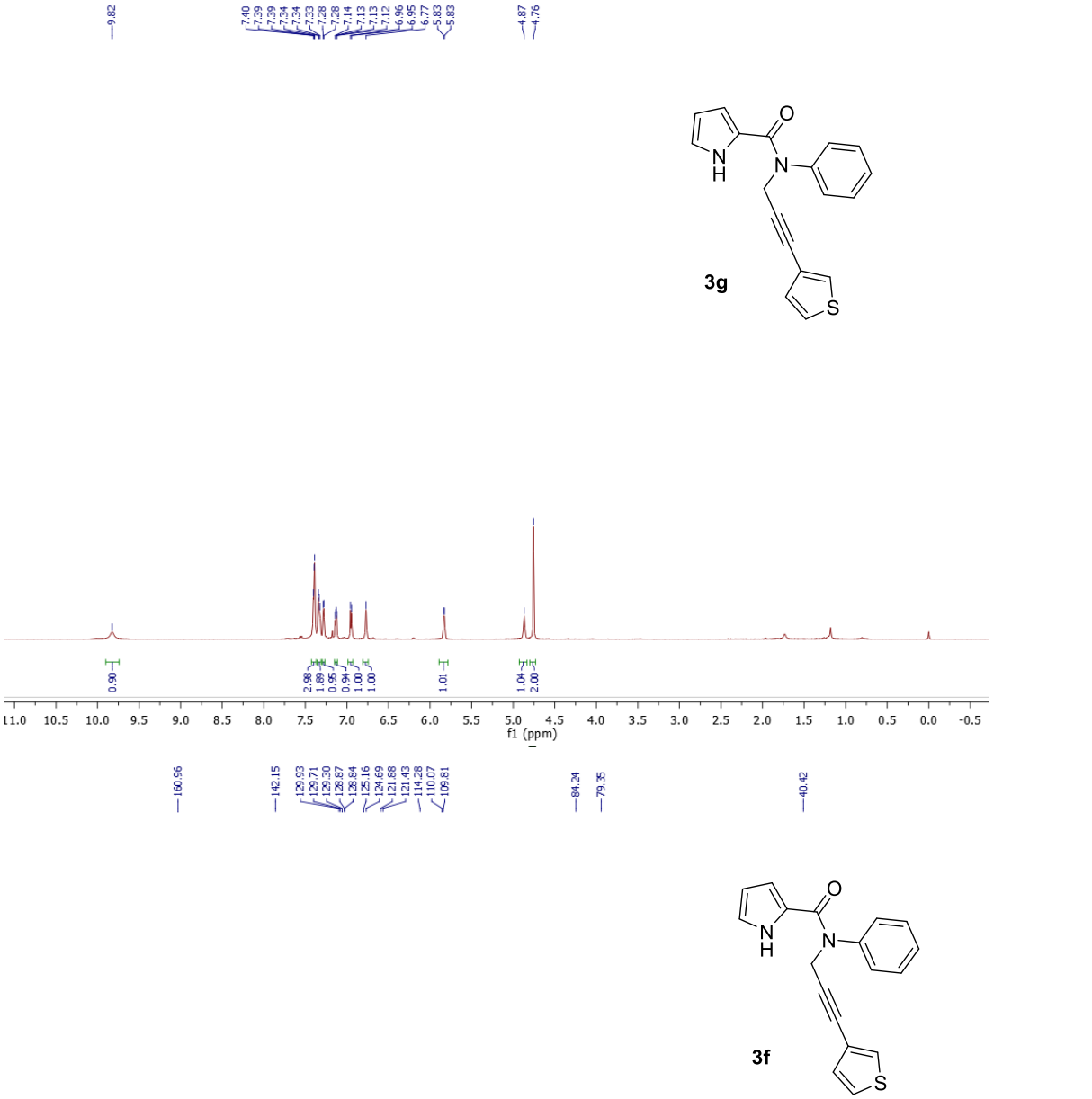


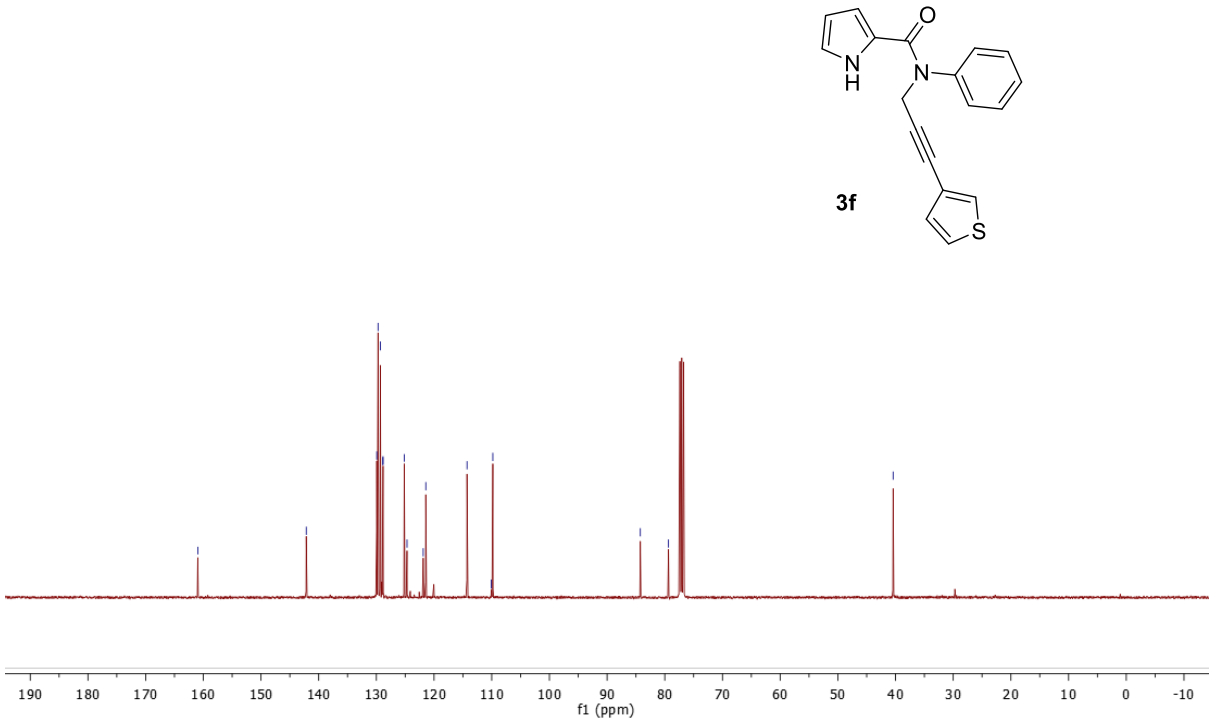
^{1}H and ^{13}C spectra of **3f**



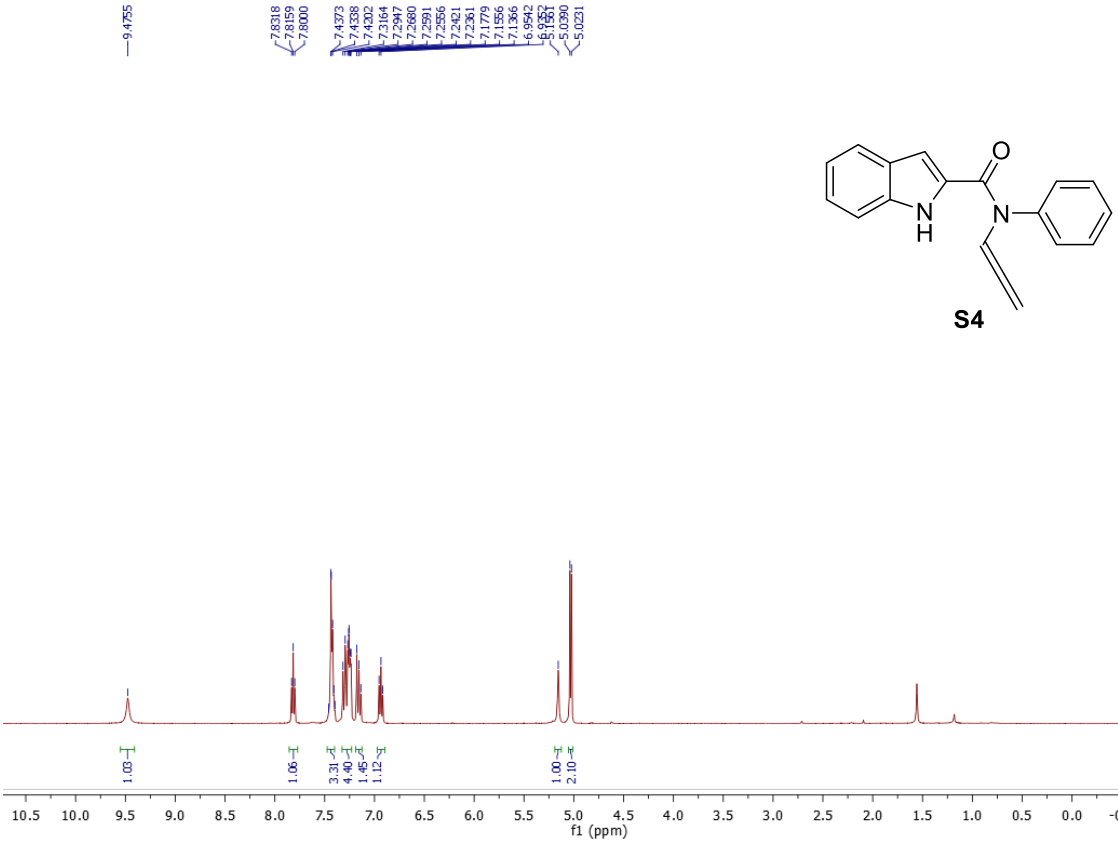


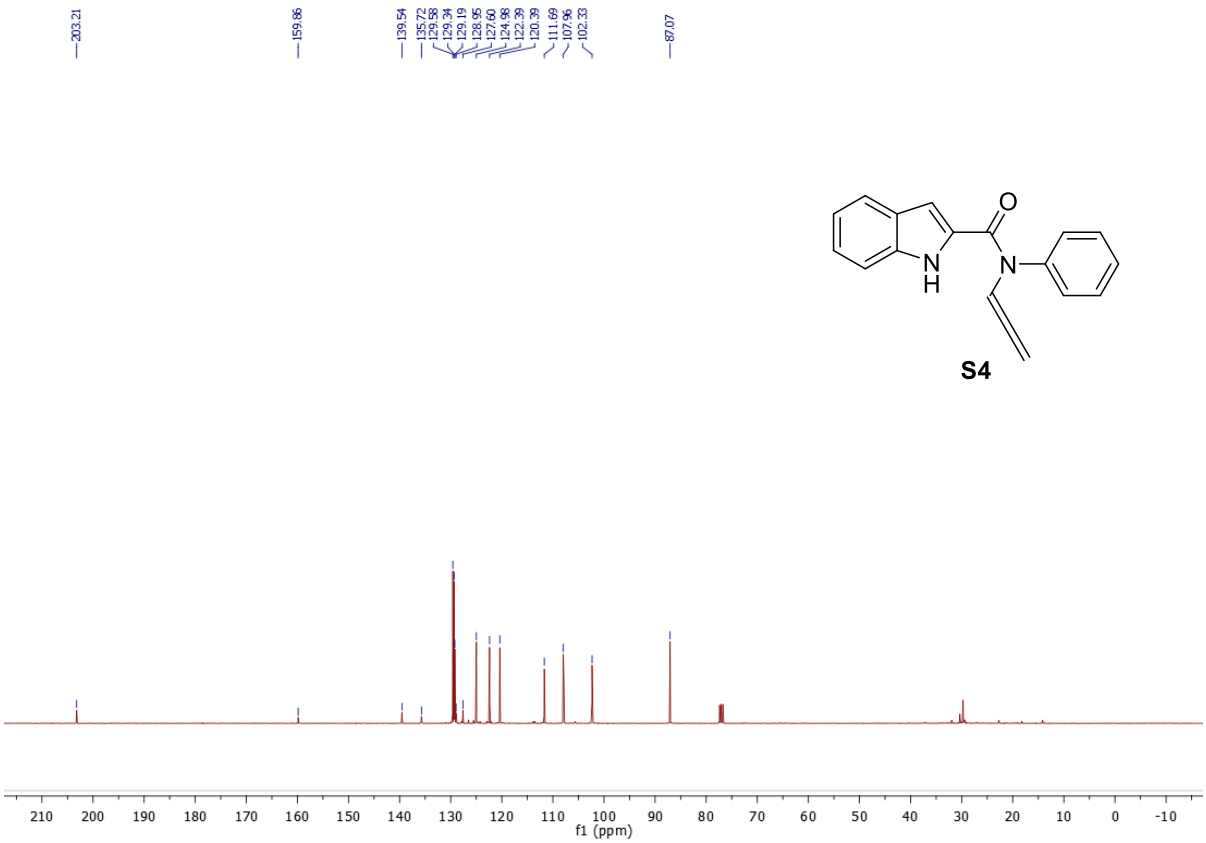
^{1}H and ^{13}C spectra of **3g**





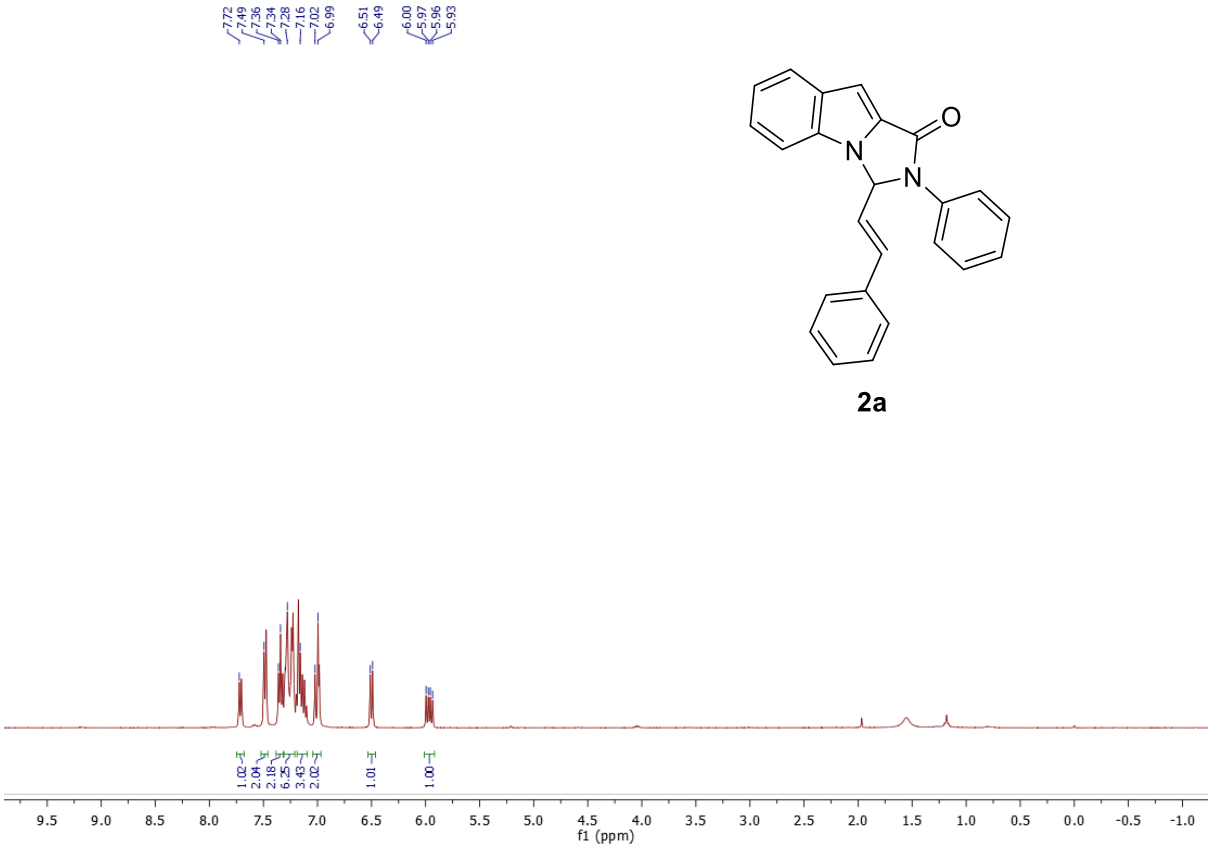
^{1}H and ^{13}C spectra of **S4**

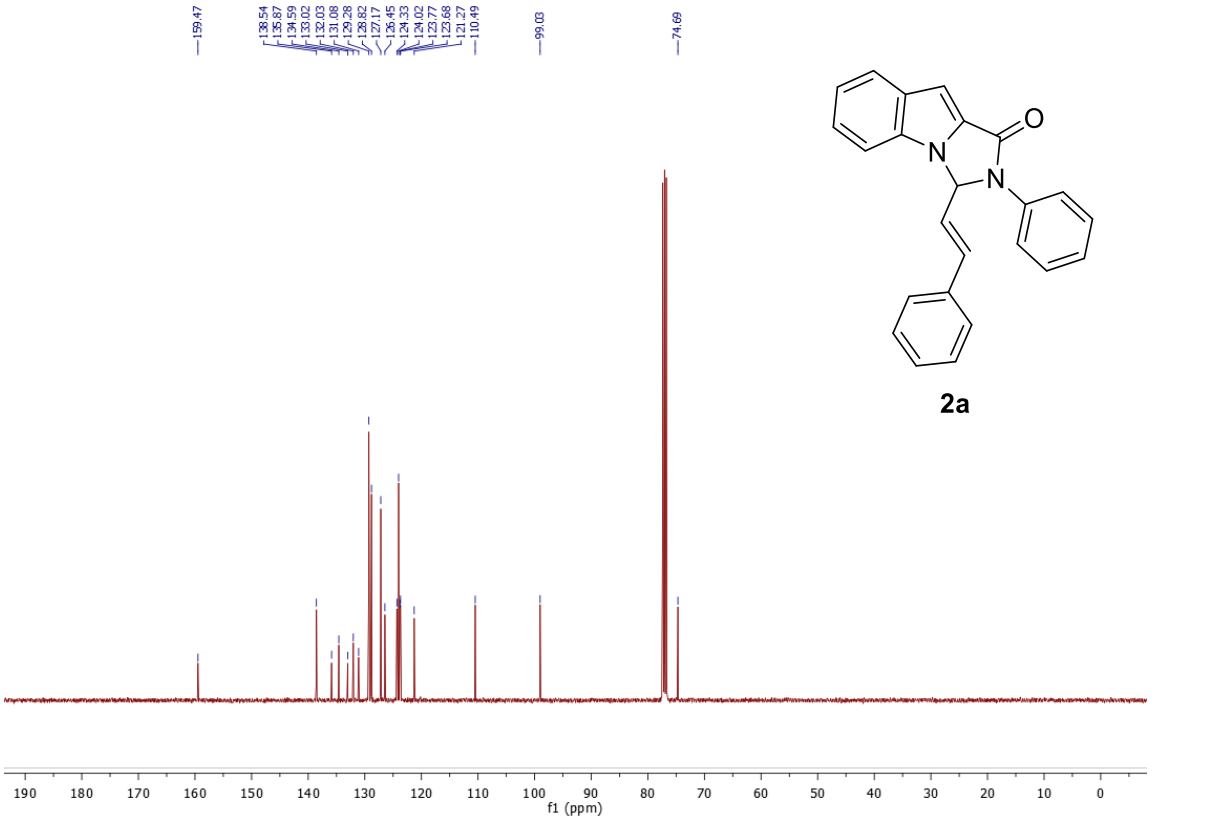




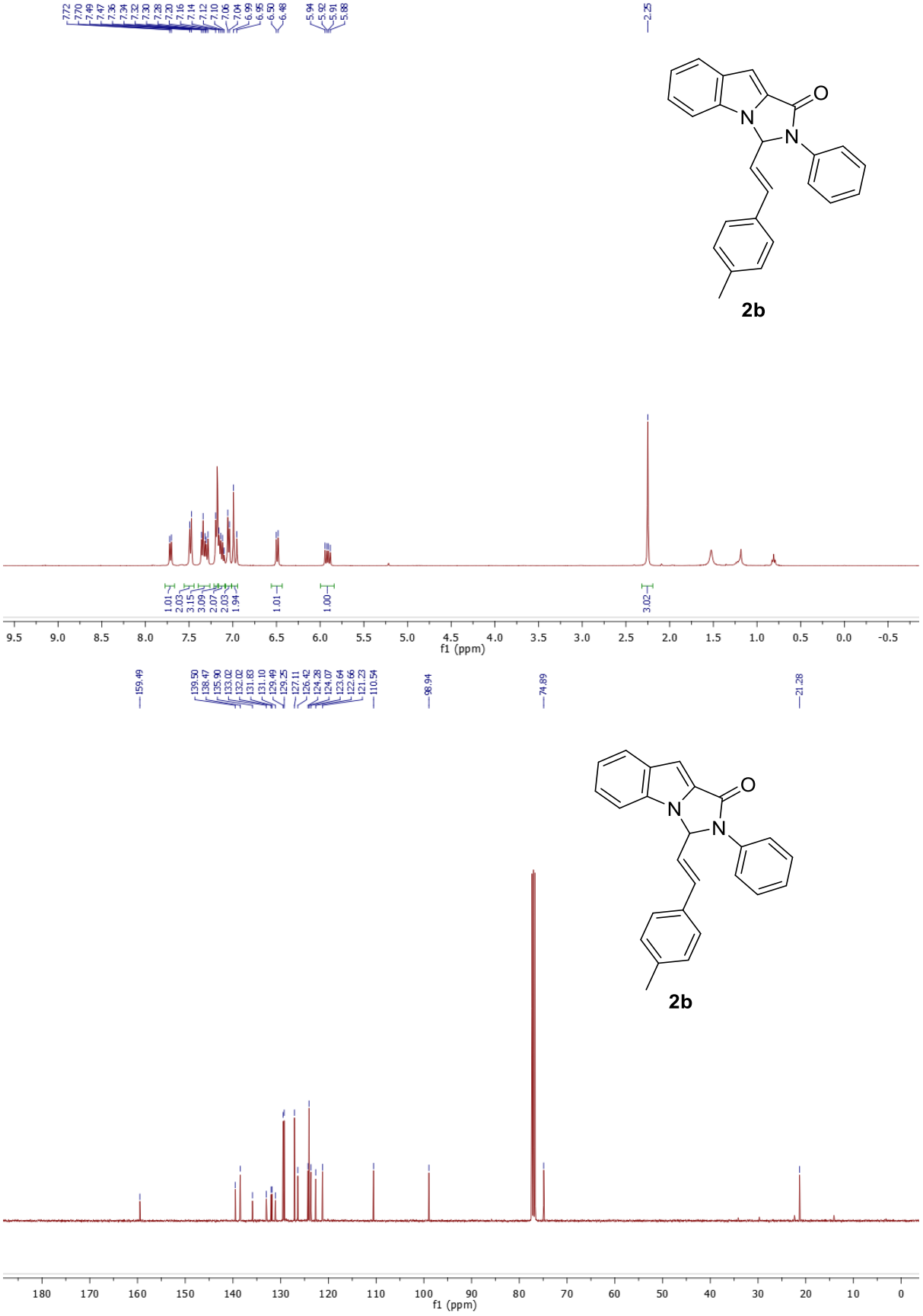
12. NMR spectral data of products

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





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



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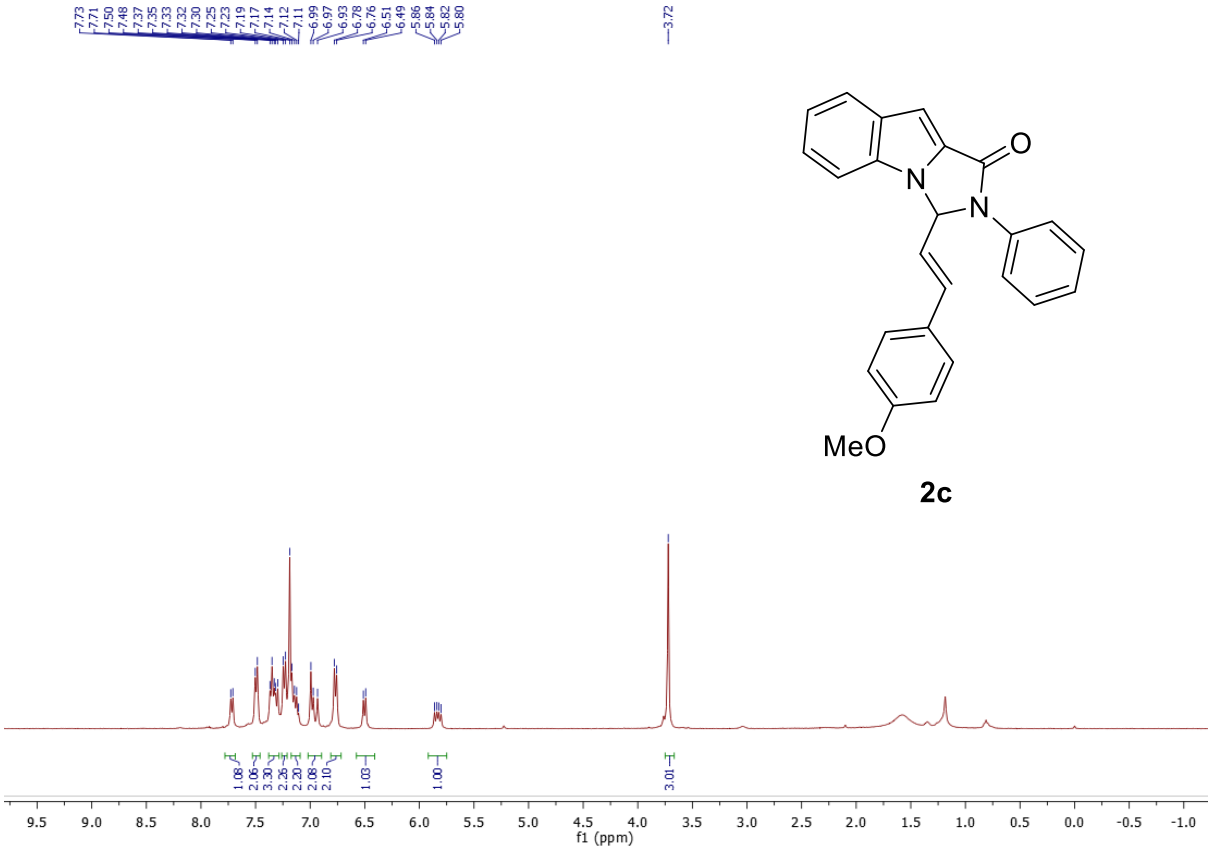
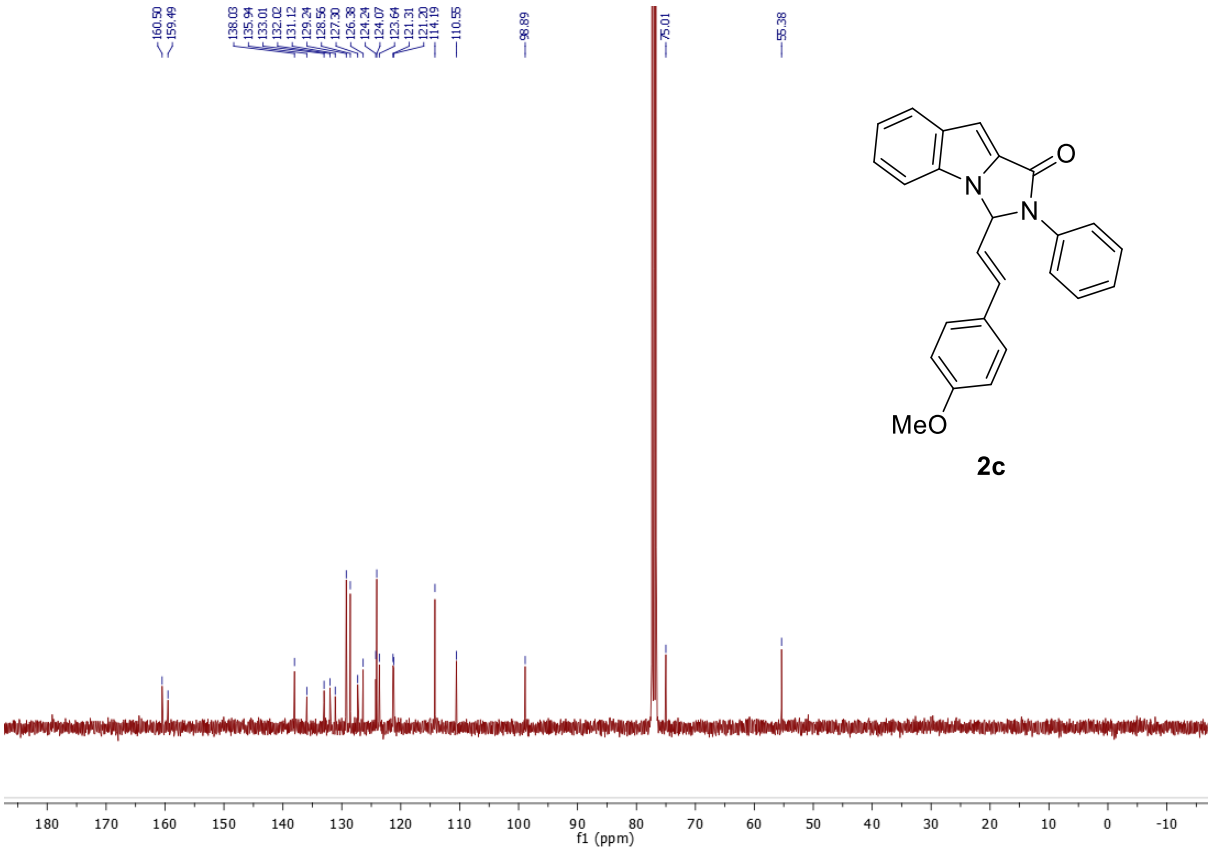
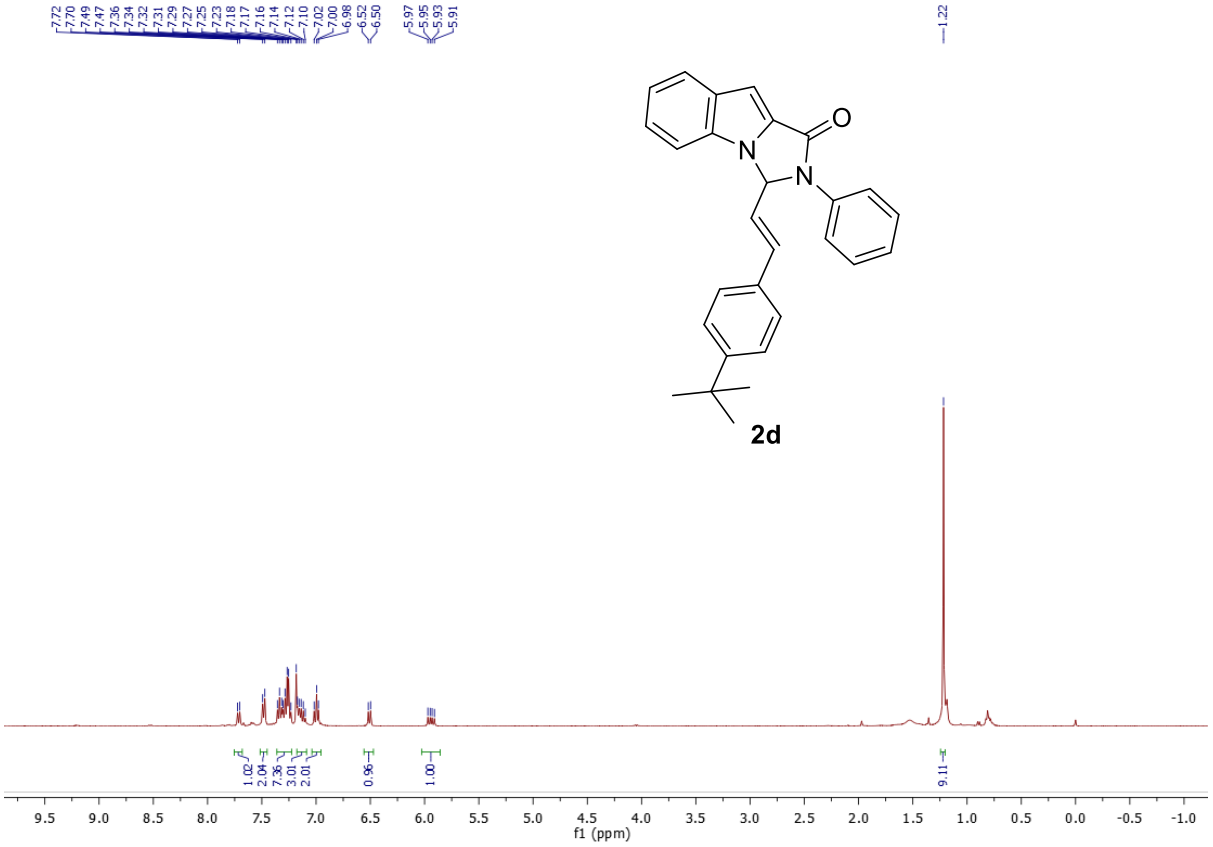
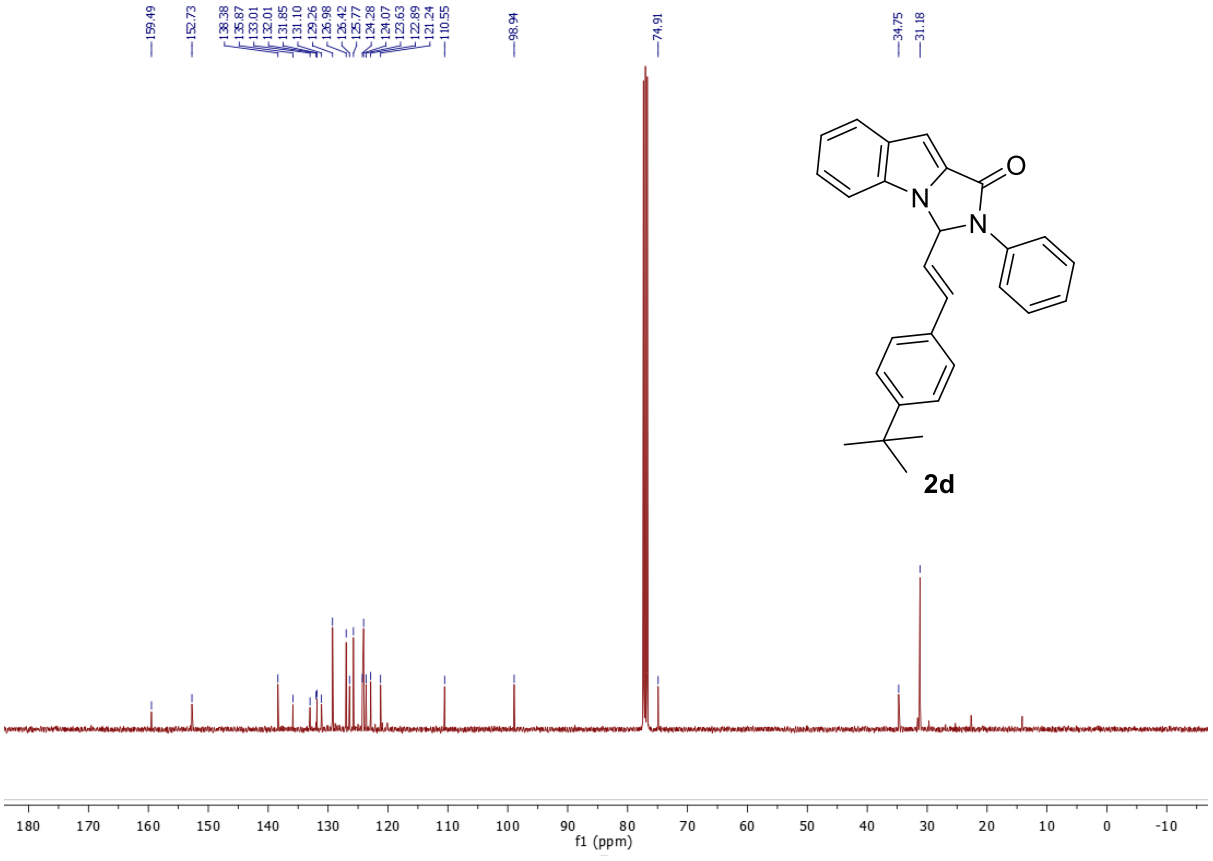
^{1}H and ^{13}C spectra of **2c**



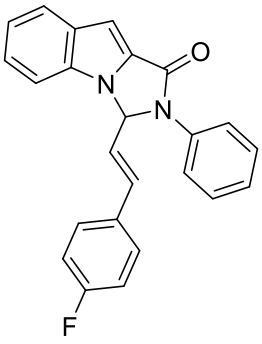


^{1}H and ^{13}C spectra of **2d**

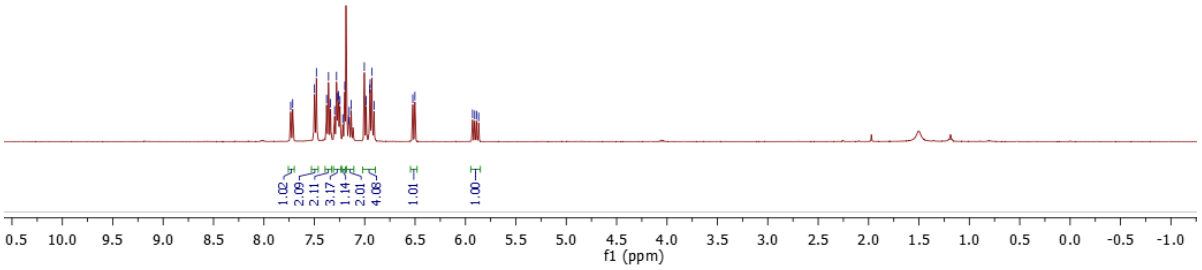


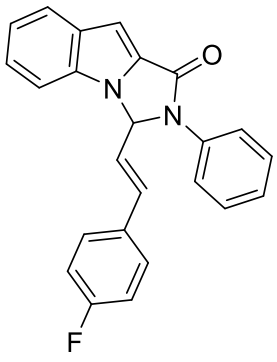


^{1}H and ^{13}C spectra of **2e**

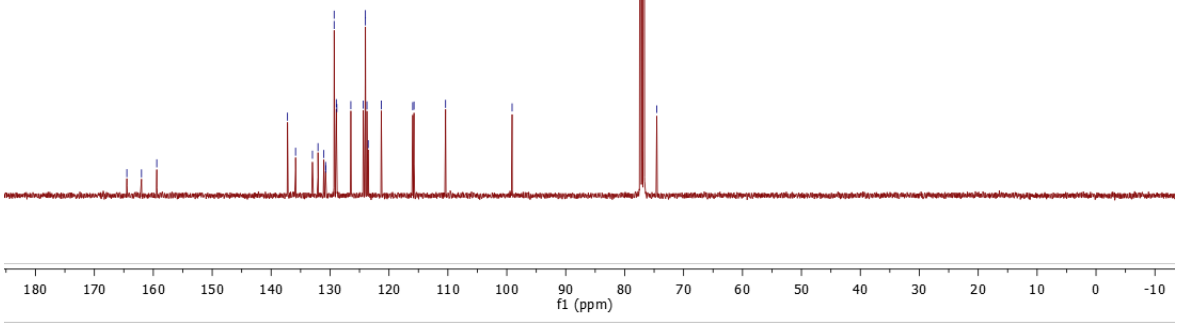


2e

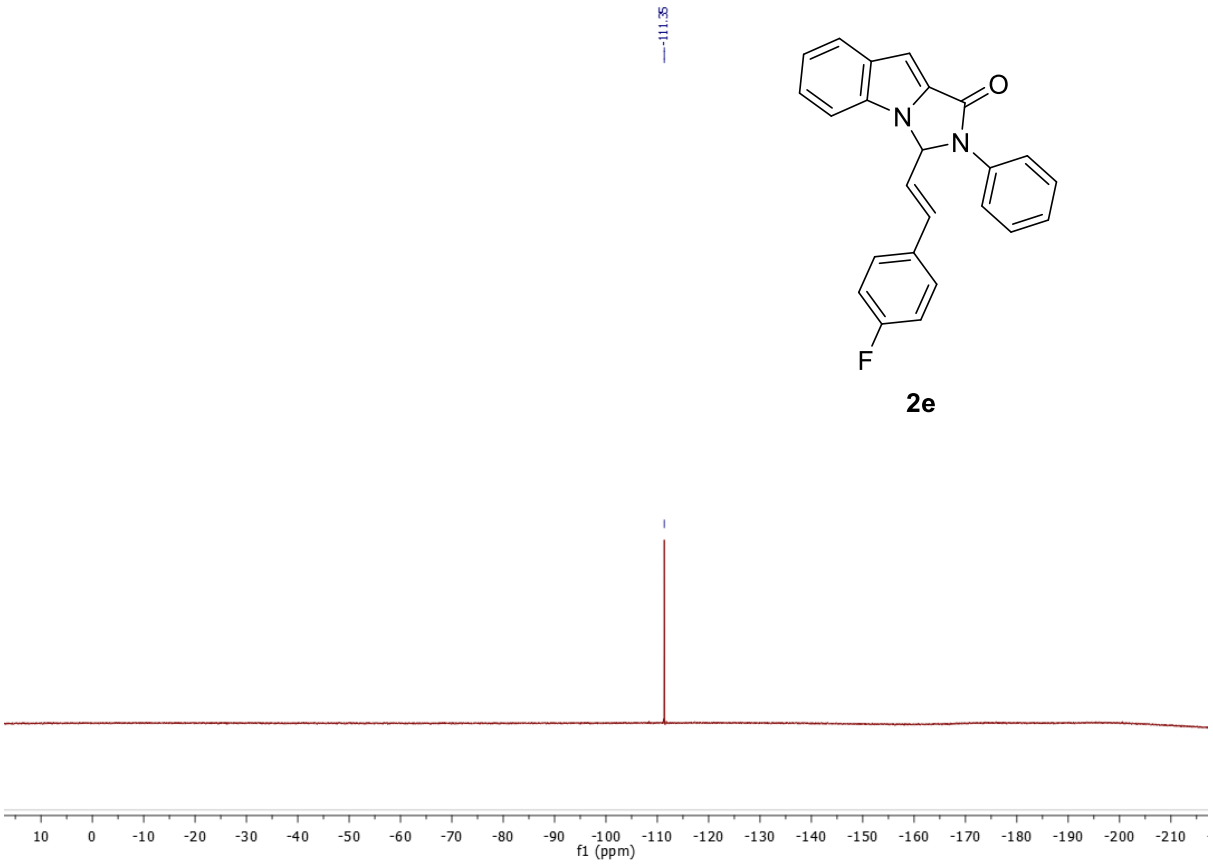




2e

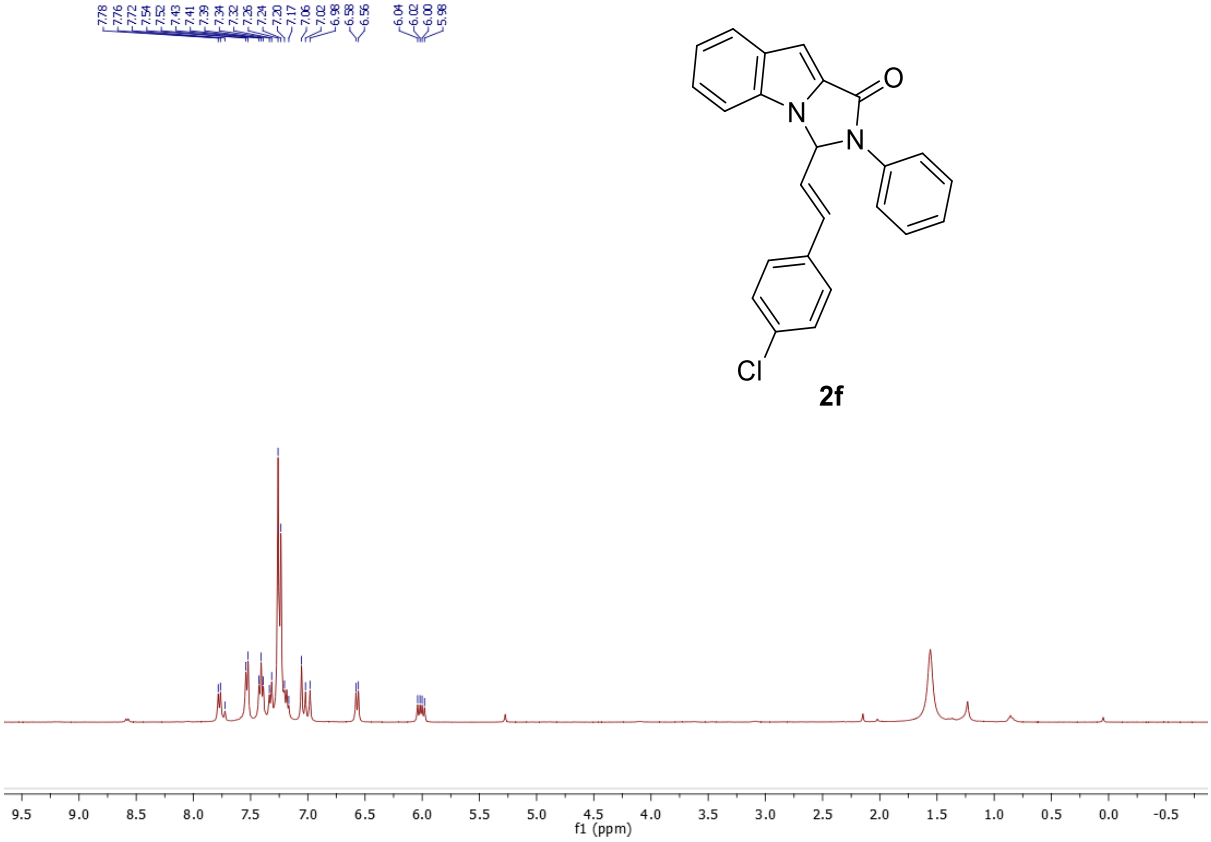


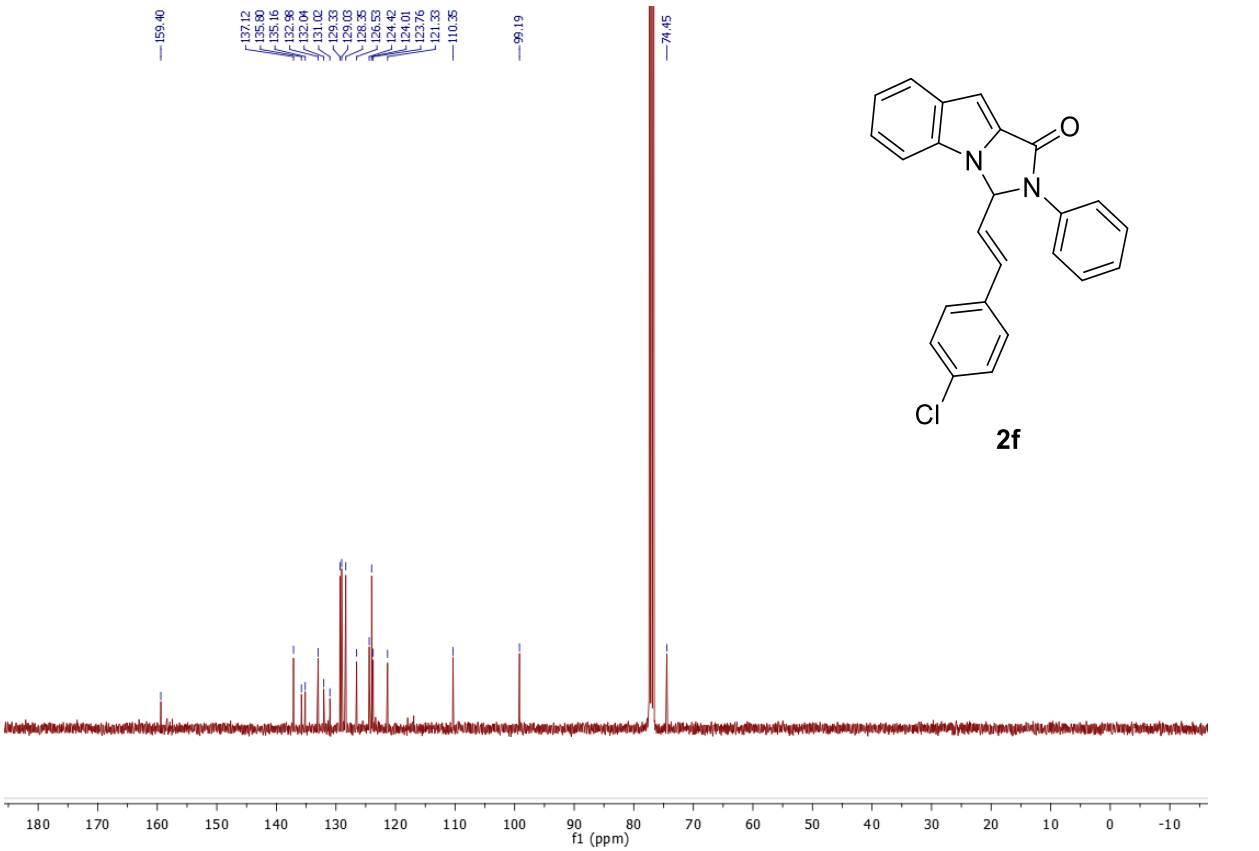
^{19}F spectra of **2e**



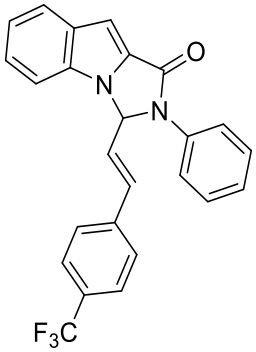
2e

^{1}H and ^{13}C spectra of **2f**

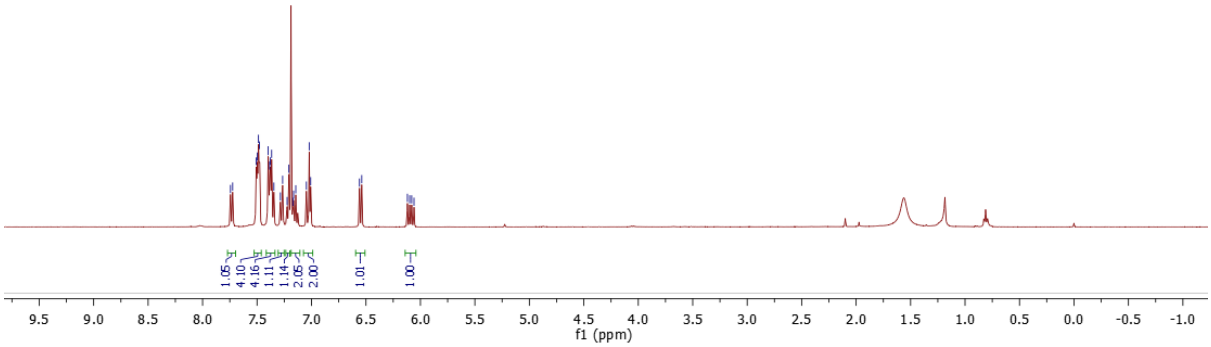


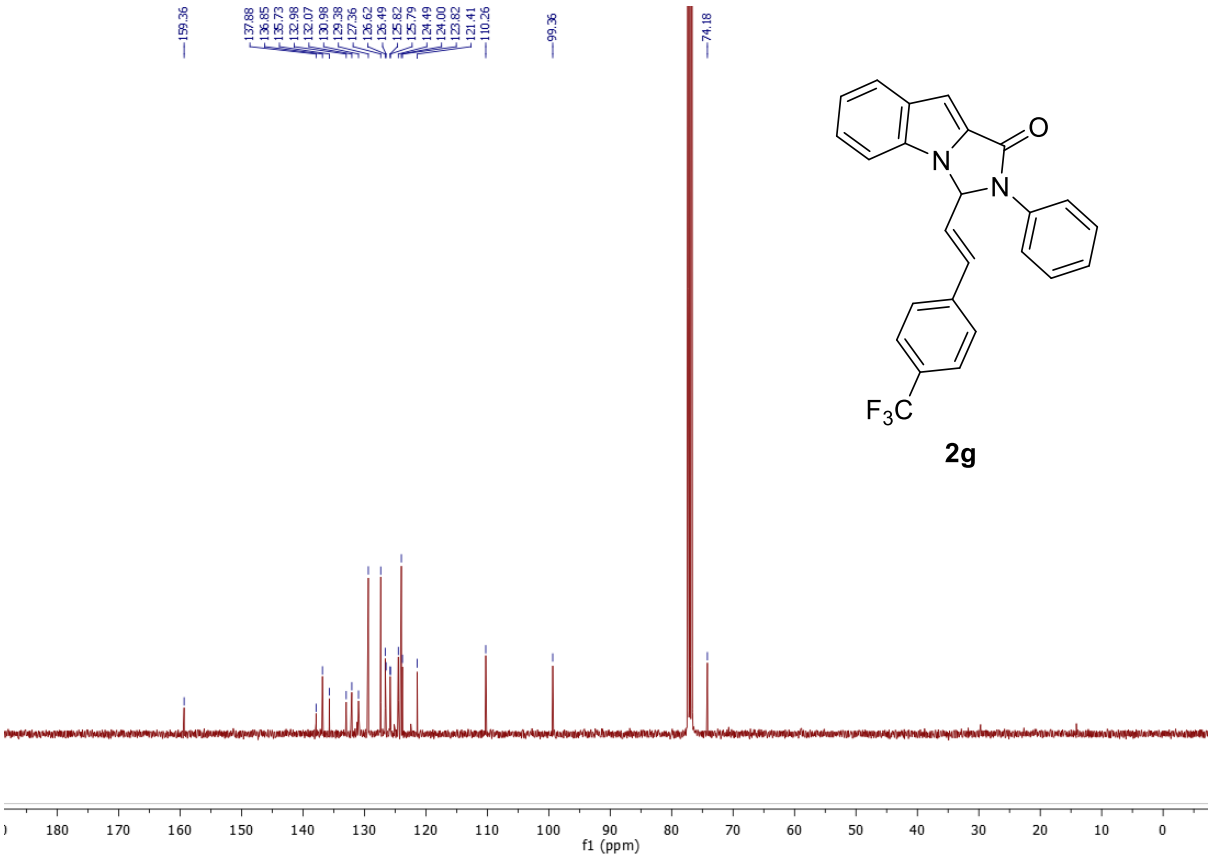


^{1}H and ^{13}C spectra of **2g**



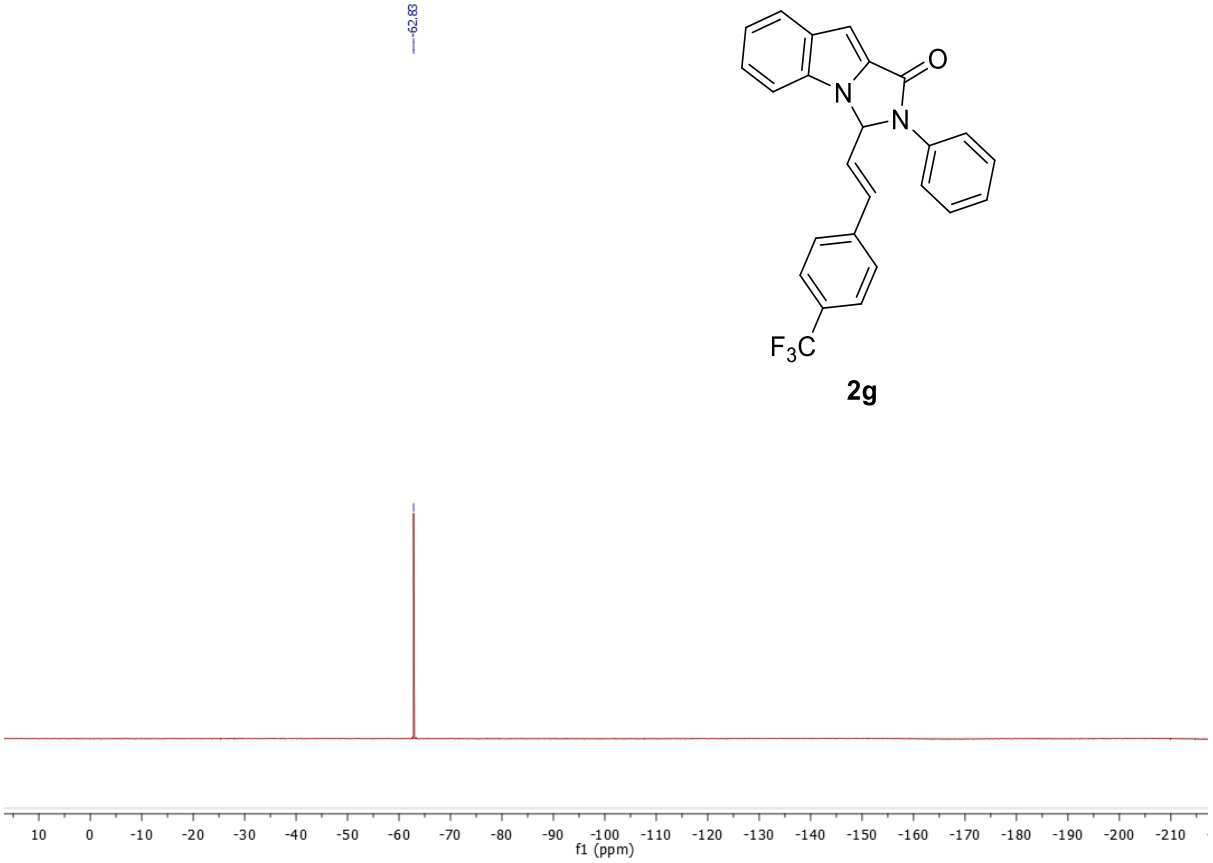
2g





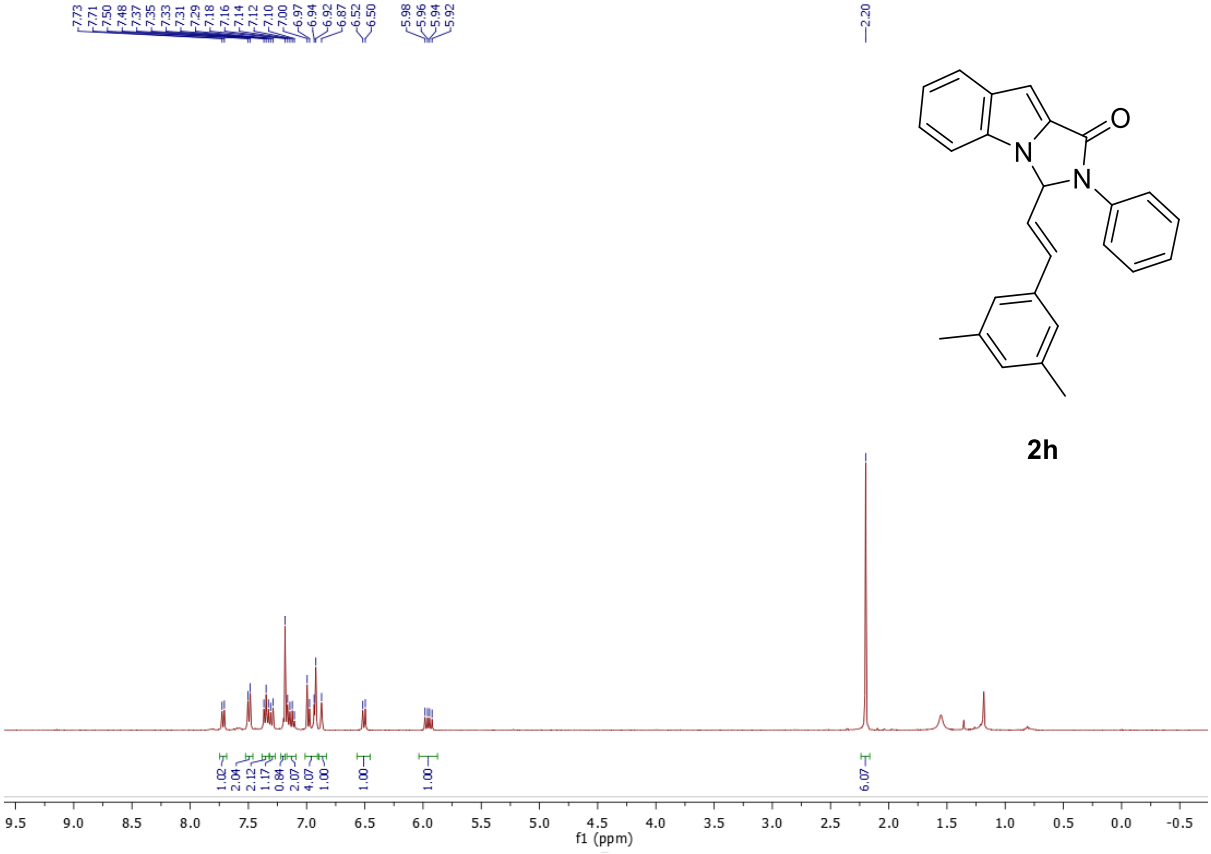
2g

^{19}F spectra of **2g**

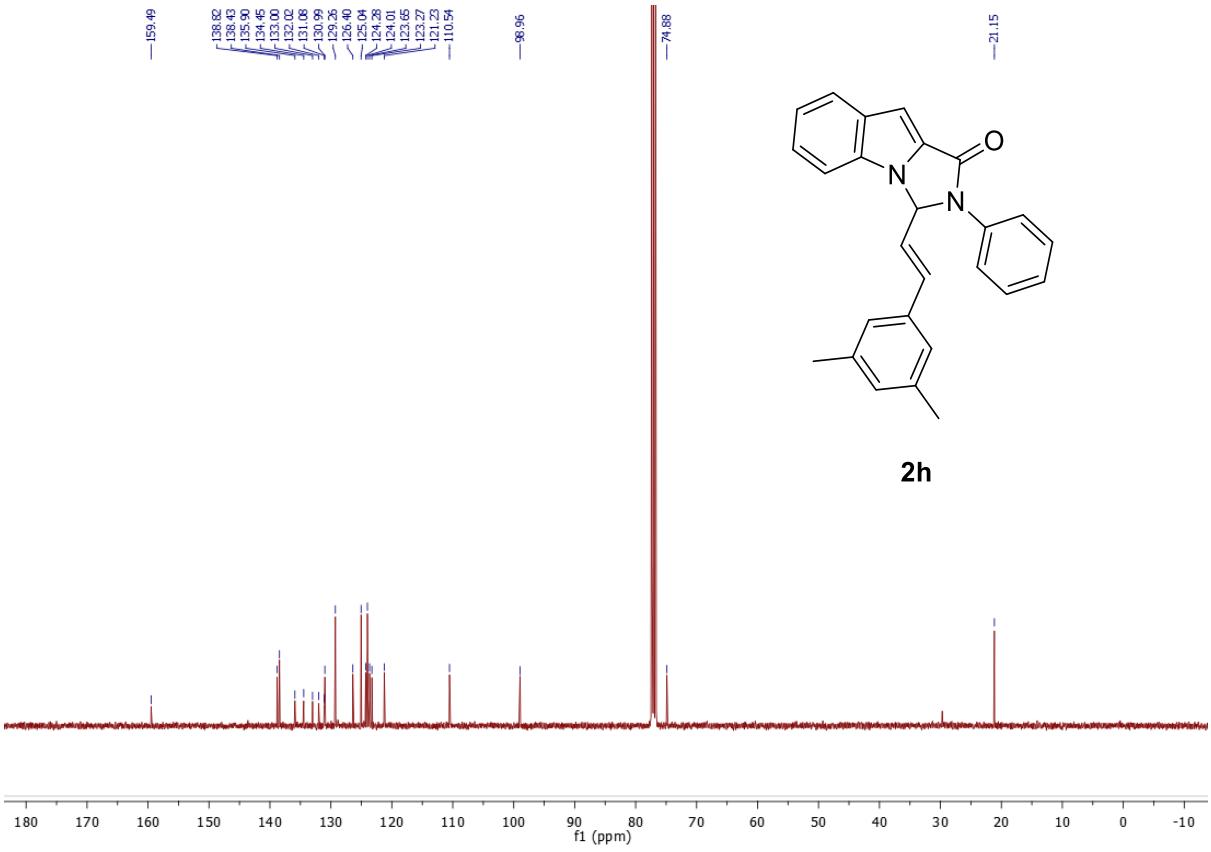


2g

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

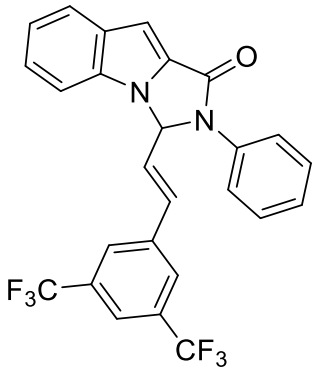


2h

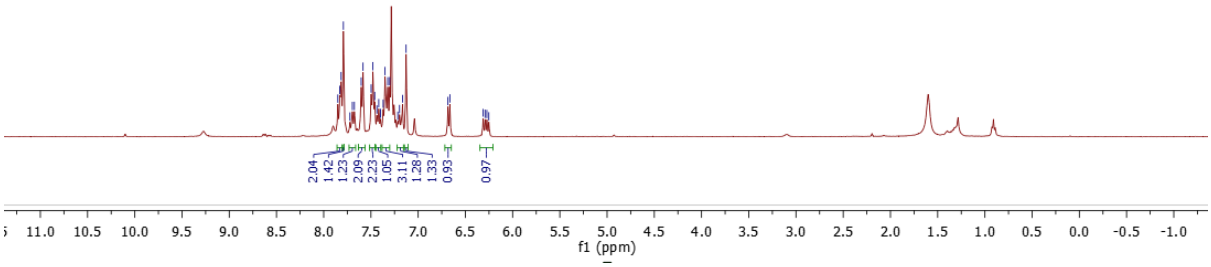


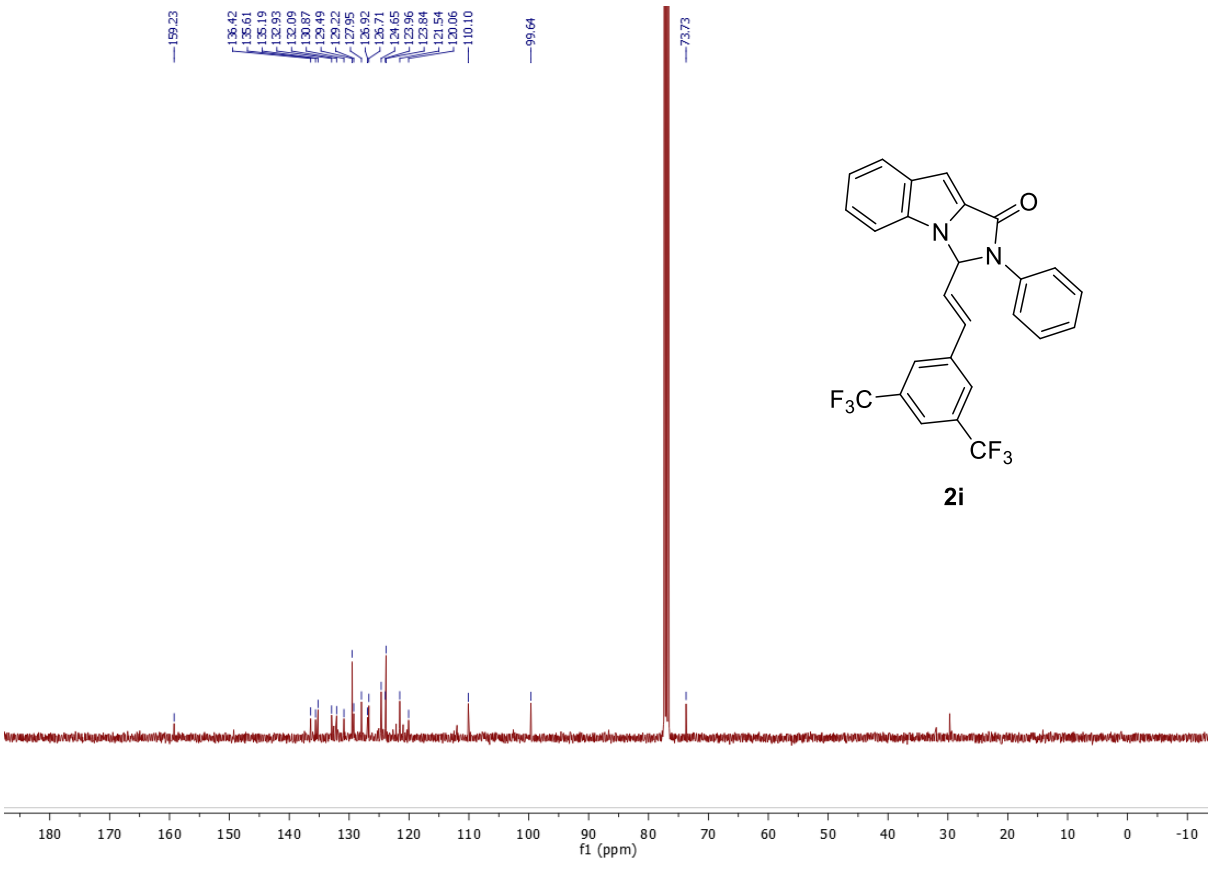
2h

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



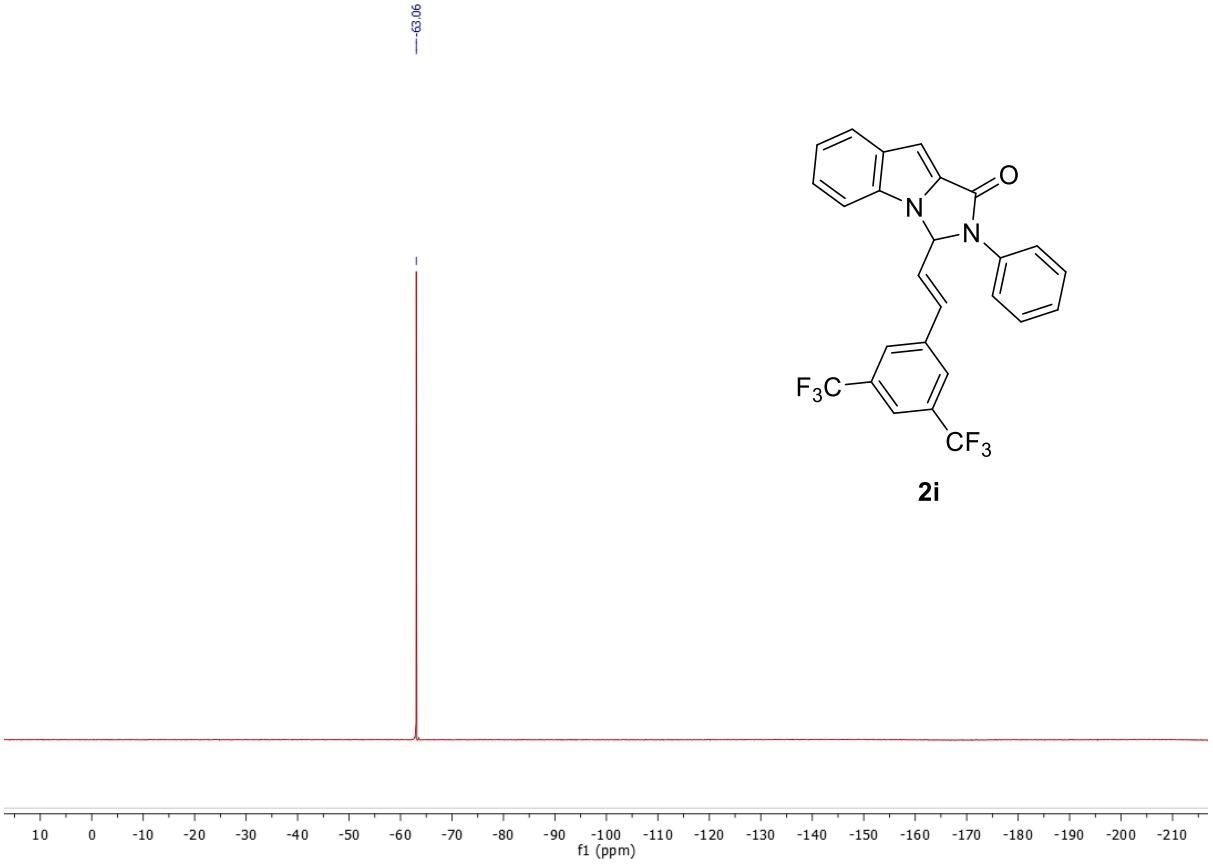
2i





2i

^{19}F spectra of **2i**



2i

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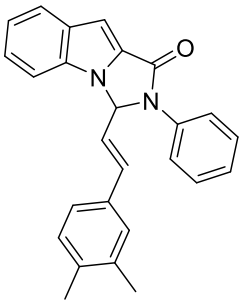
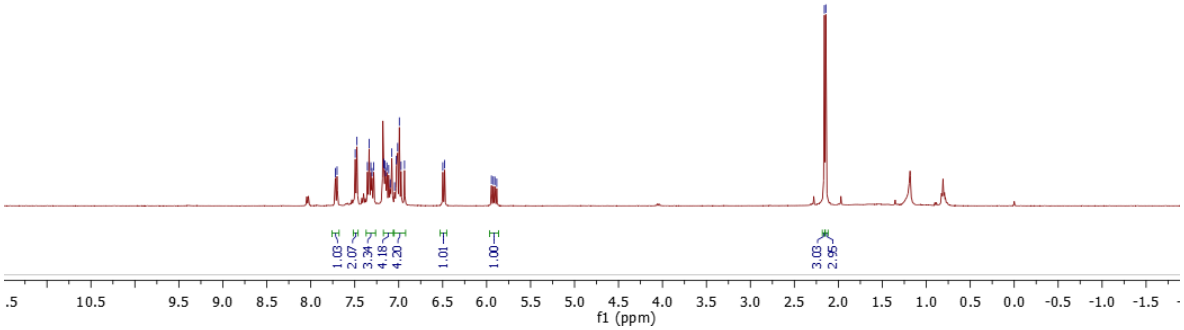
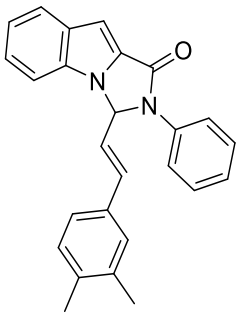
^{1}H and ^{13}C spectra of **2j**



2j





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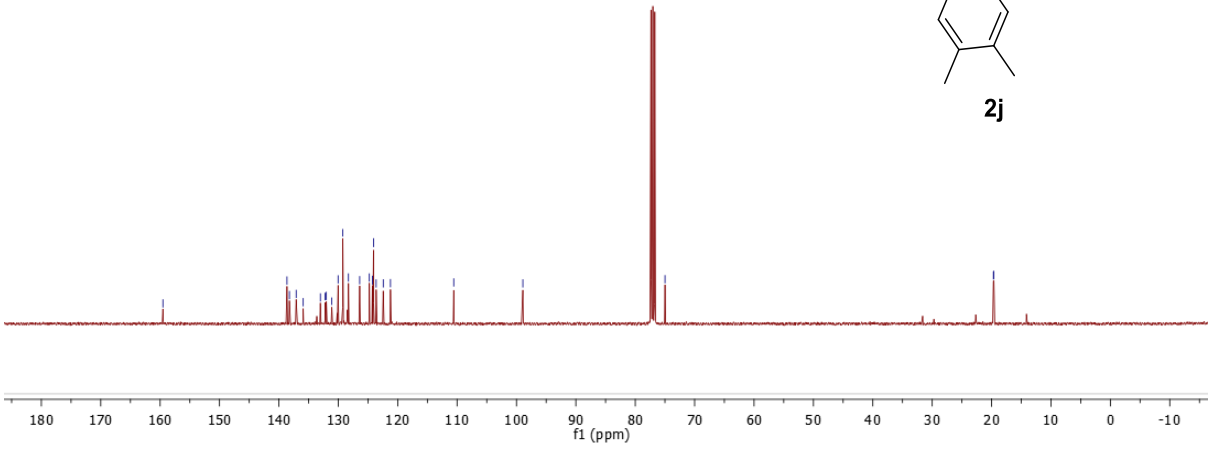
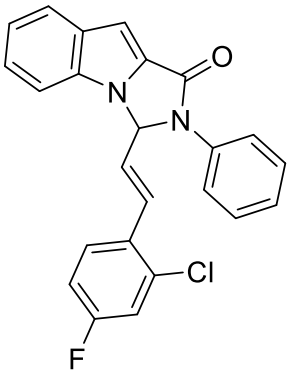
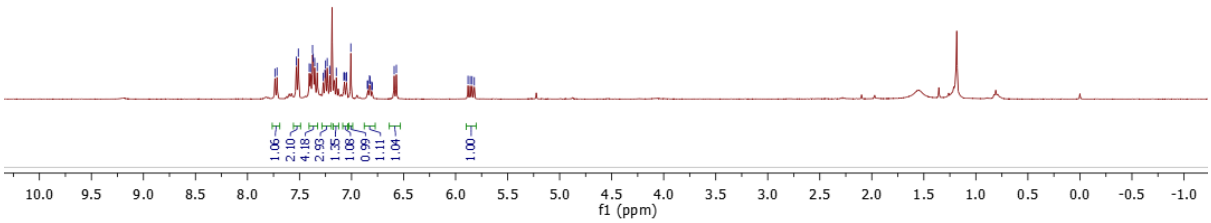
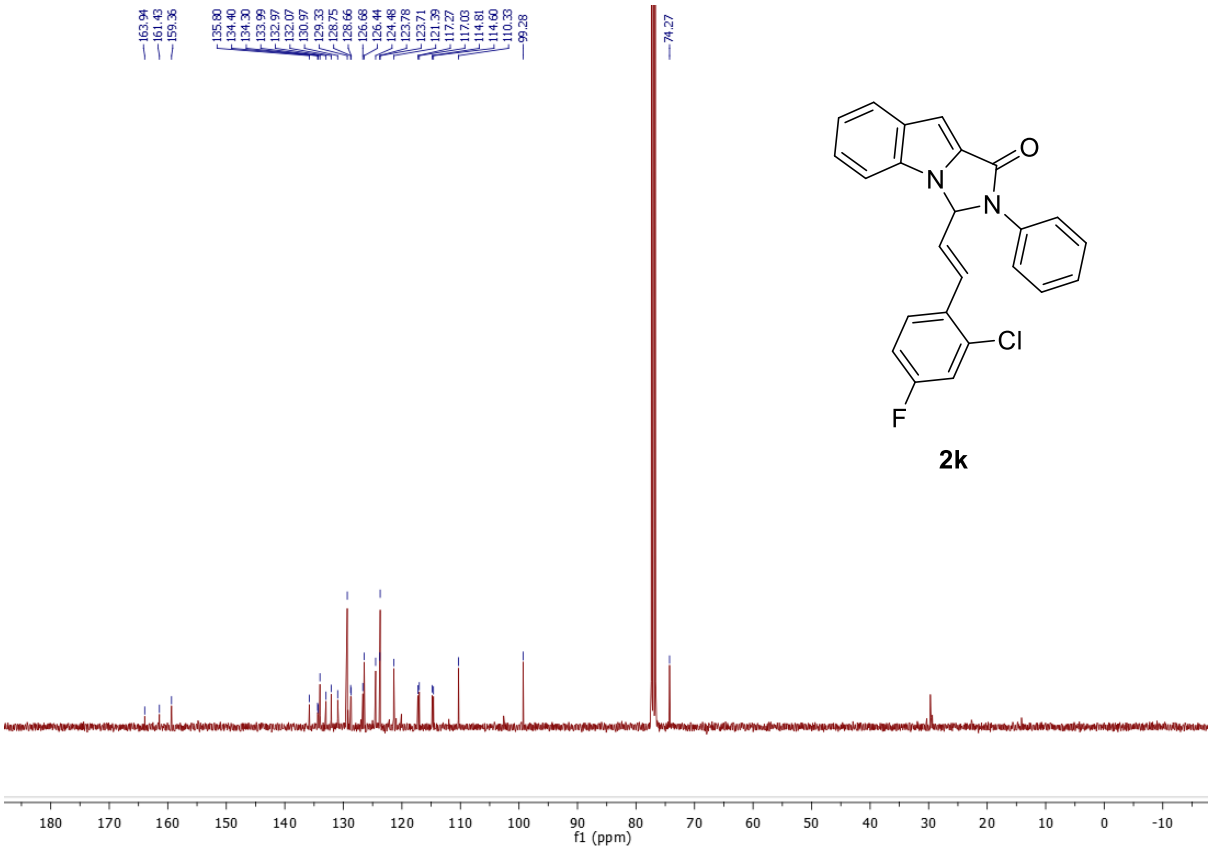


^{1}H and ^{13}C spectra of **2k**



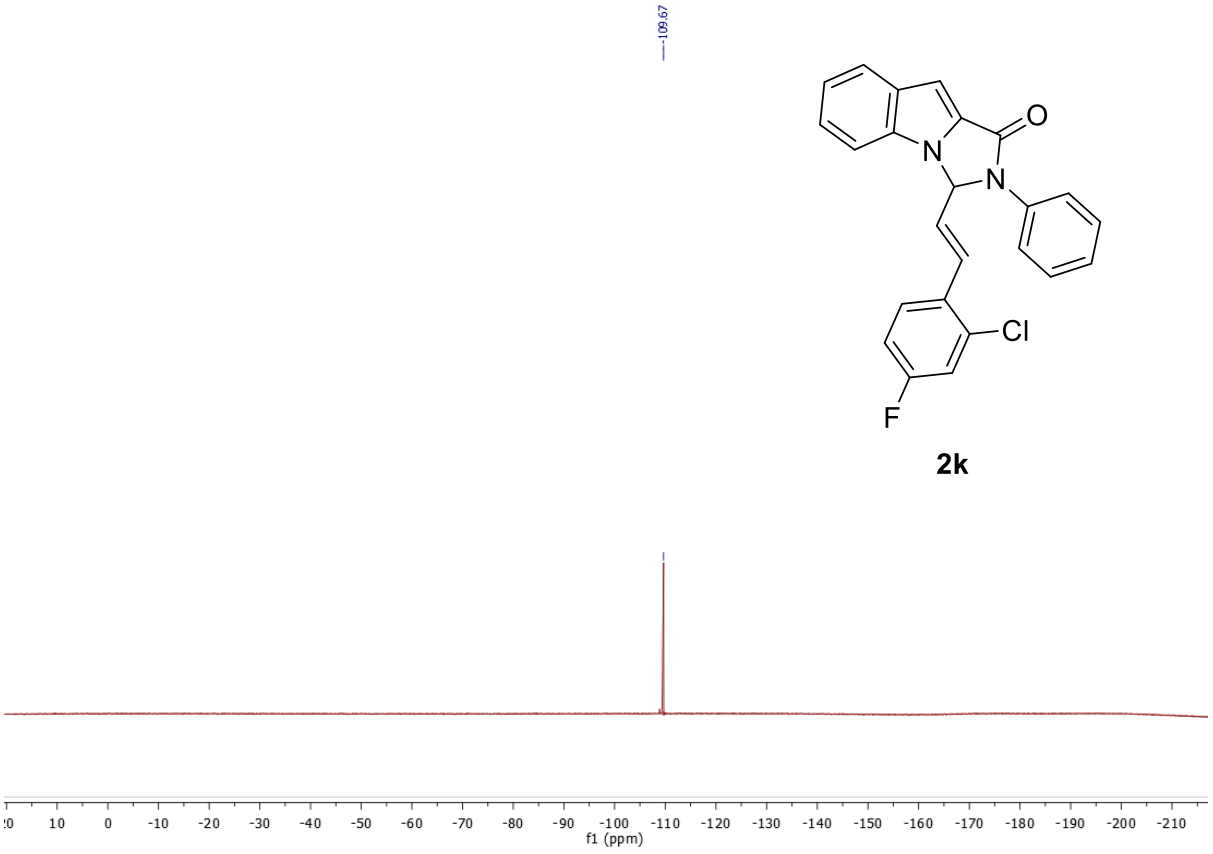
2k



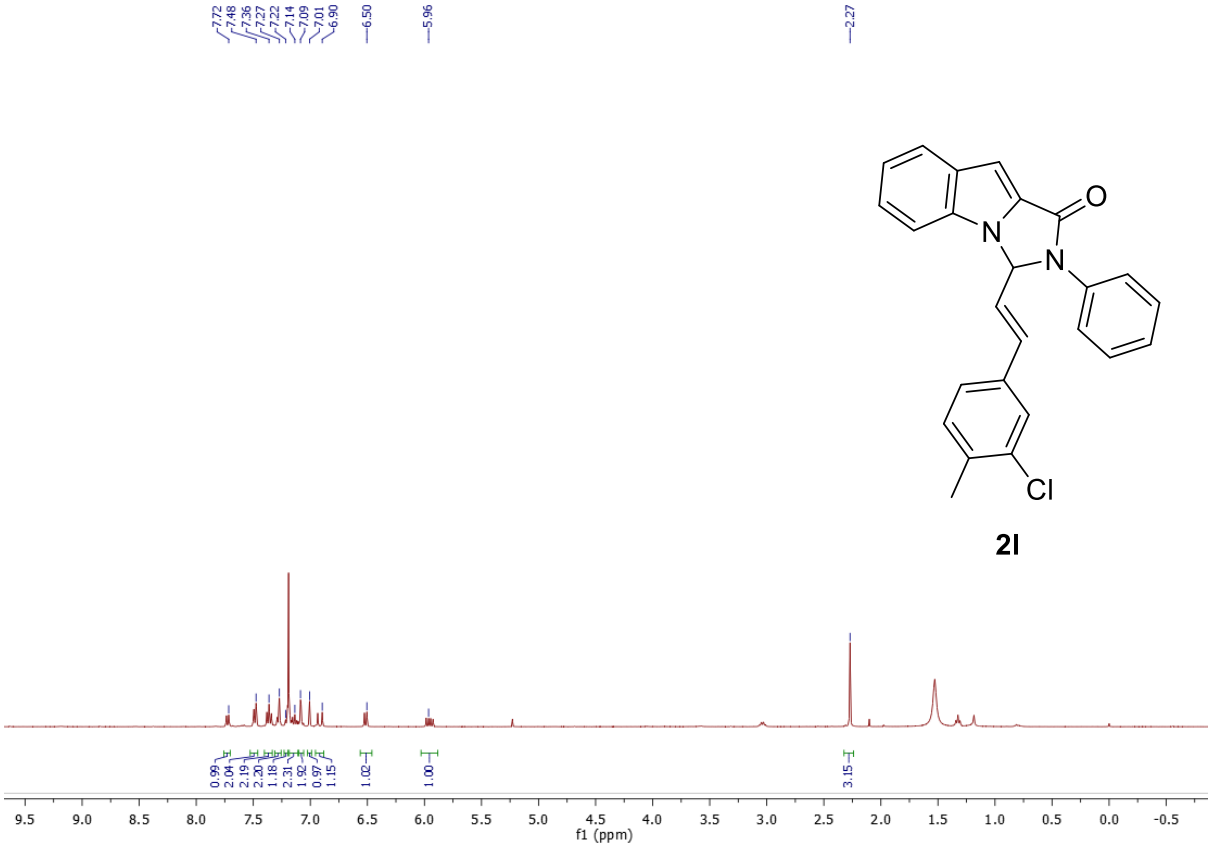


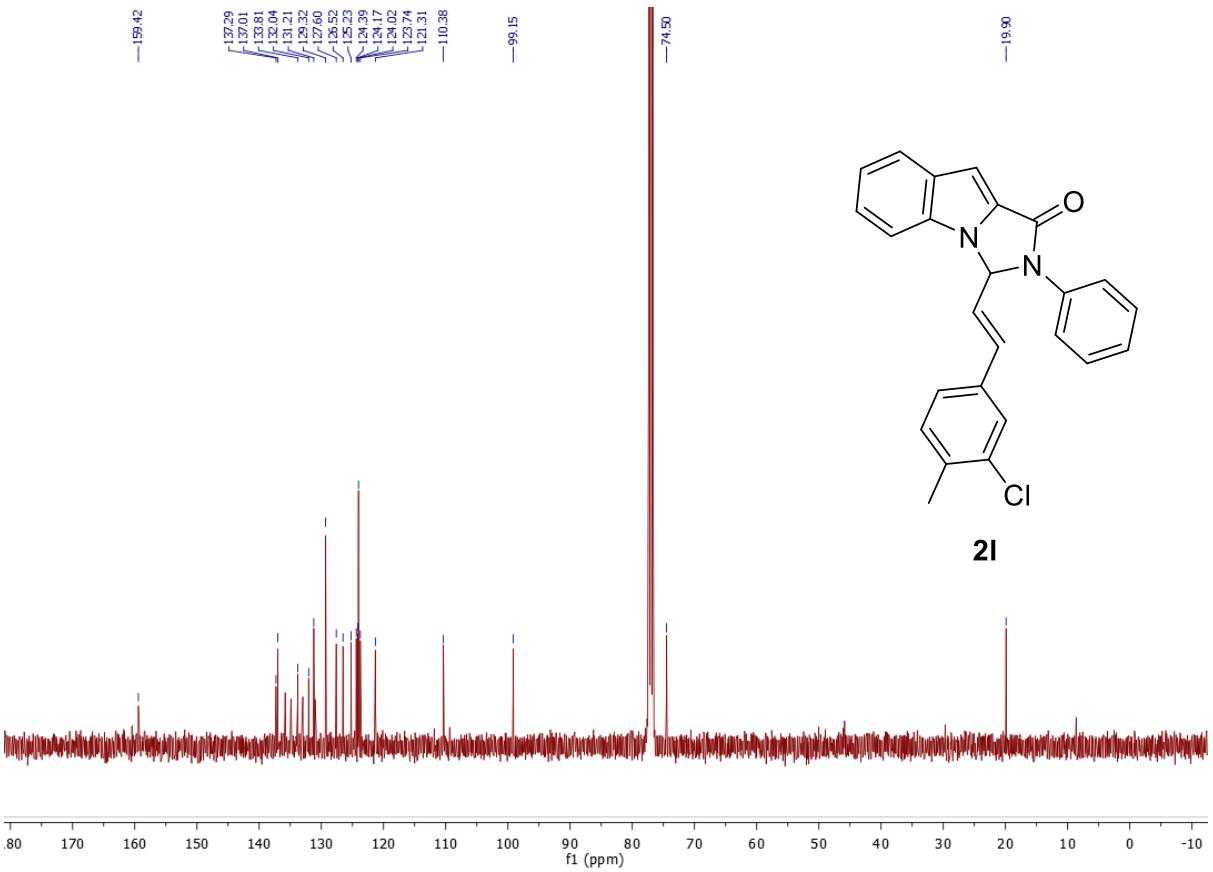
2k

^{19}F spectra of **2l**

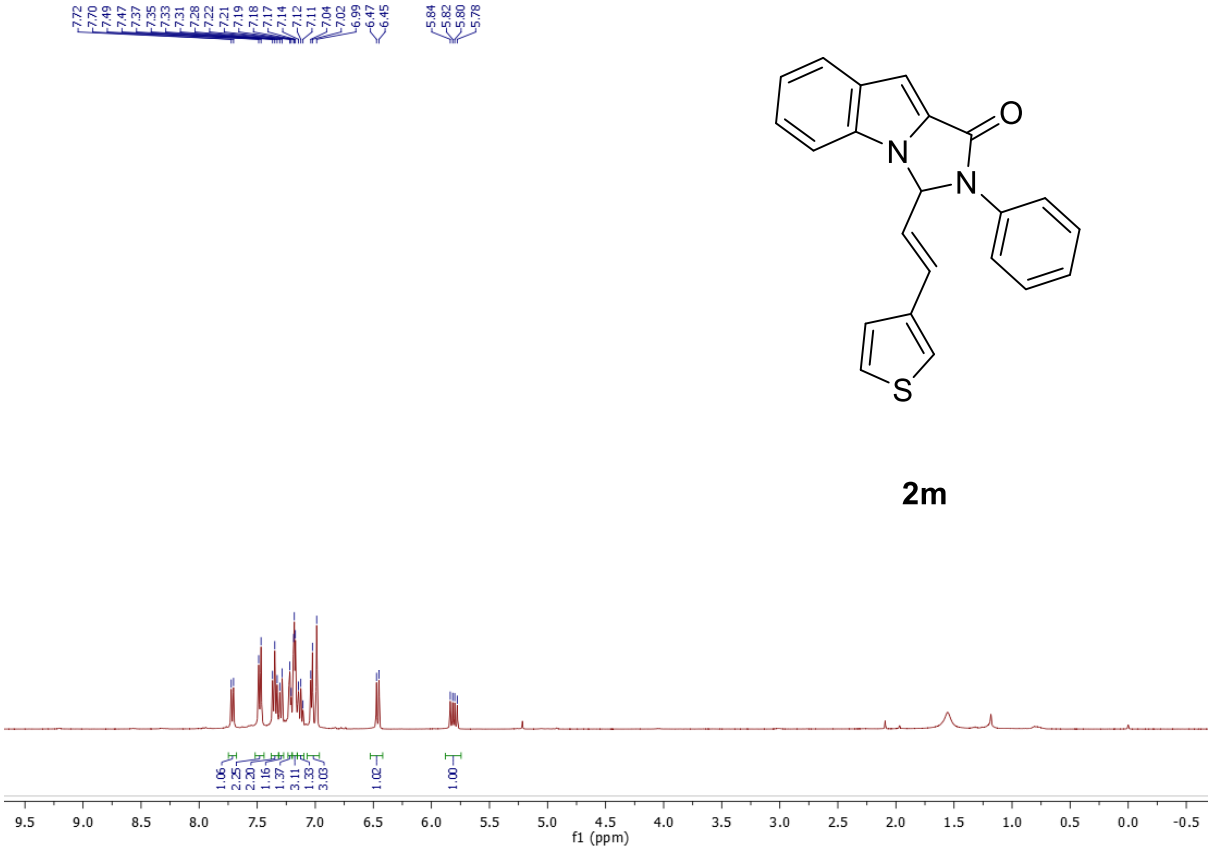


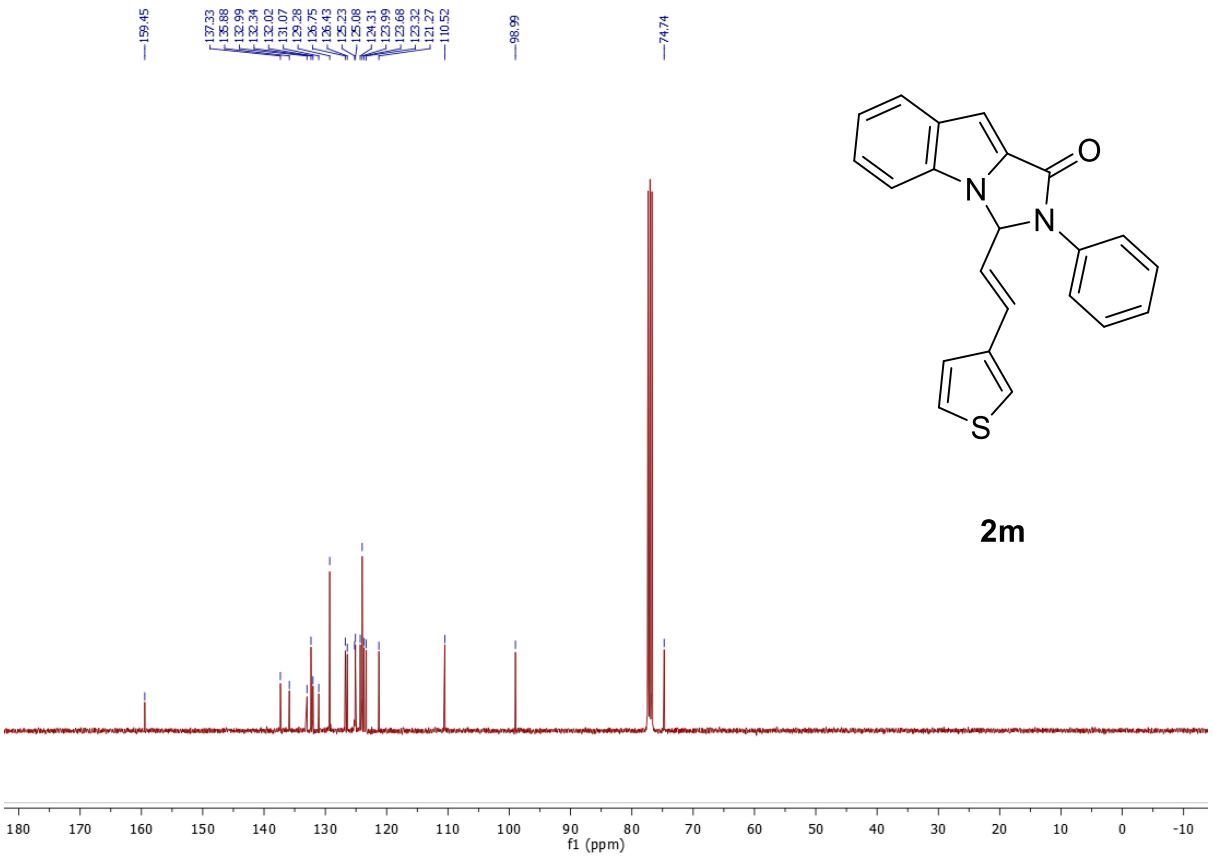
^{1}H and ^{13}C spectra of **2l**



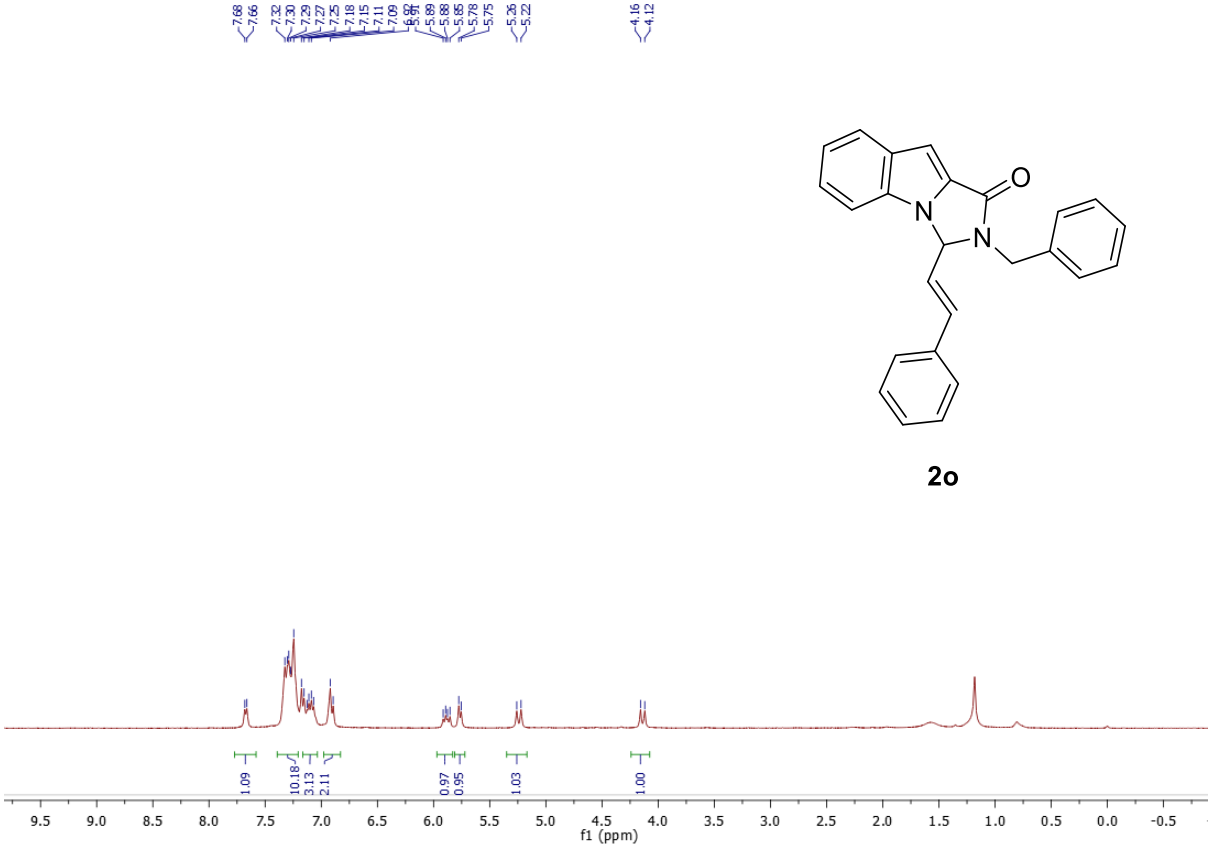


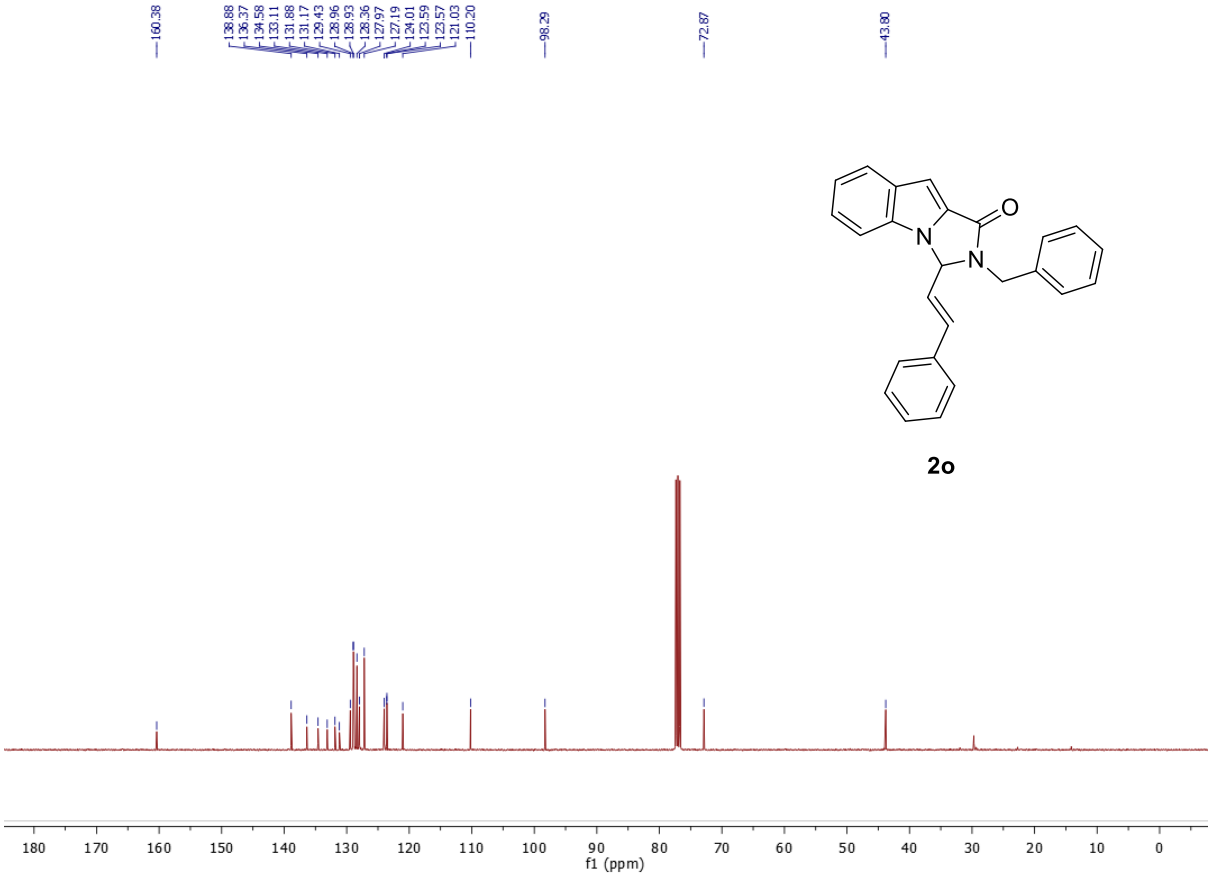
^{1}H and ^{13}C spectra of **2m**



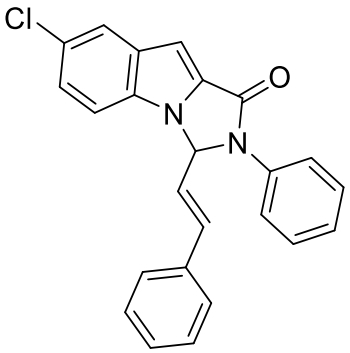


^{1}H and ^{13}C spectra of **2o**

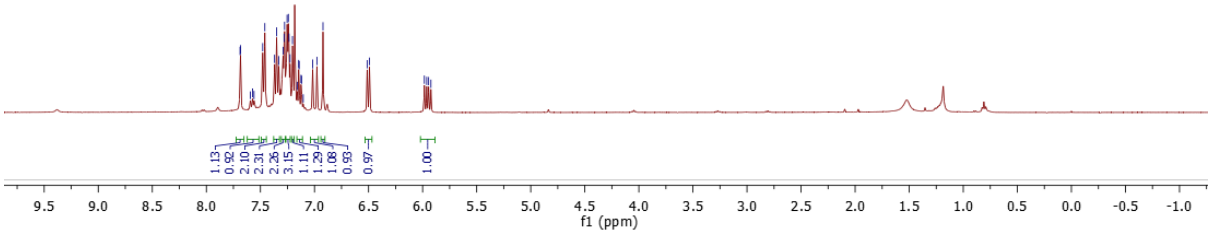


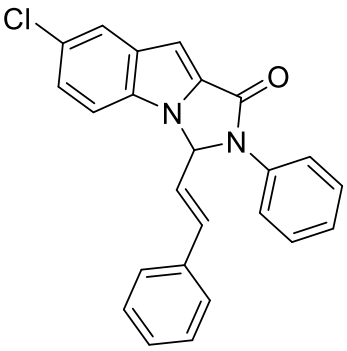


^{1}H and ^{13}C spectra of **2p**

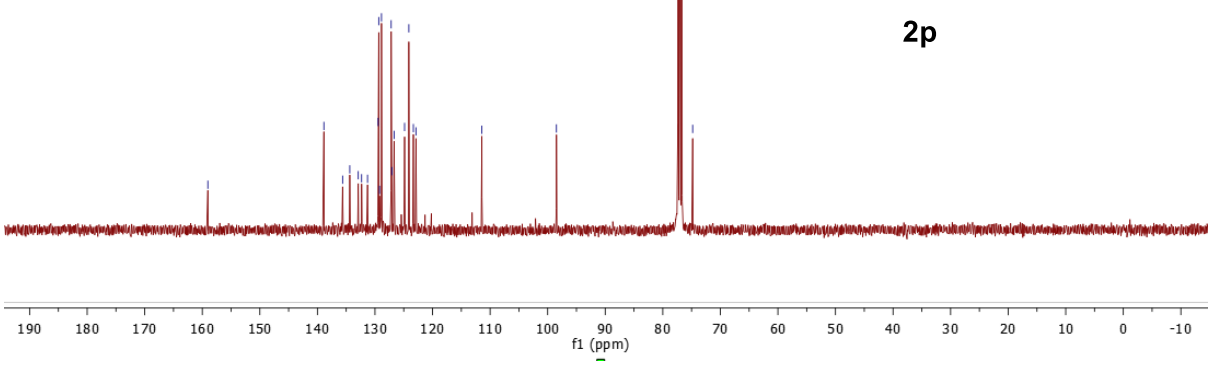


2p

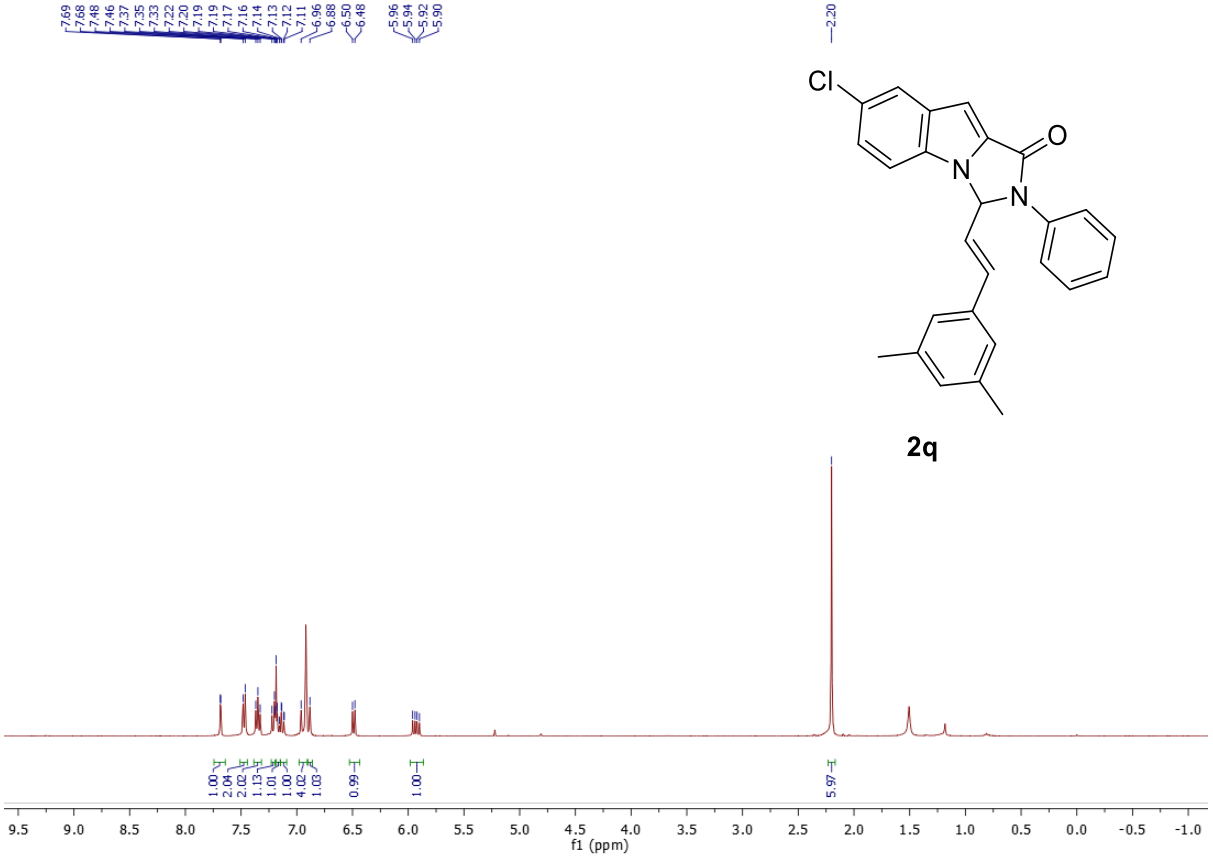


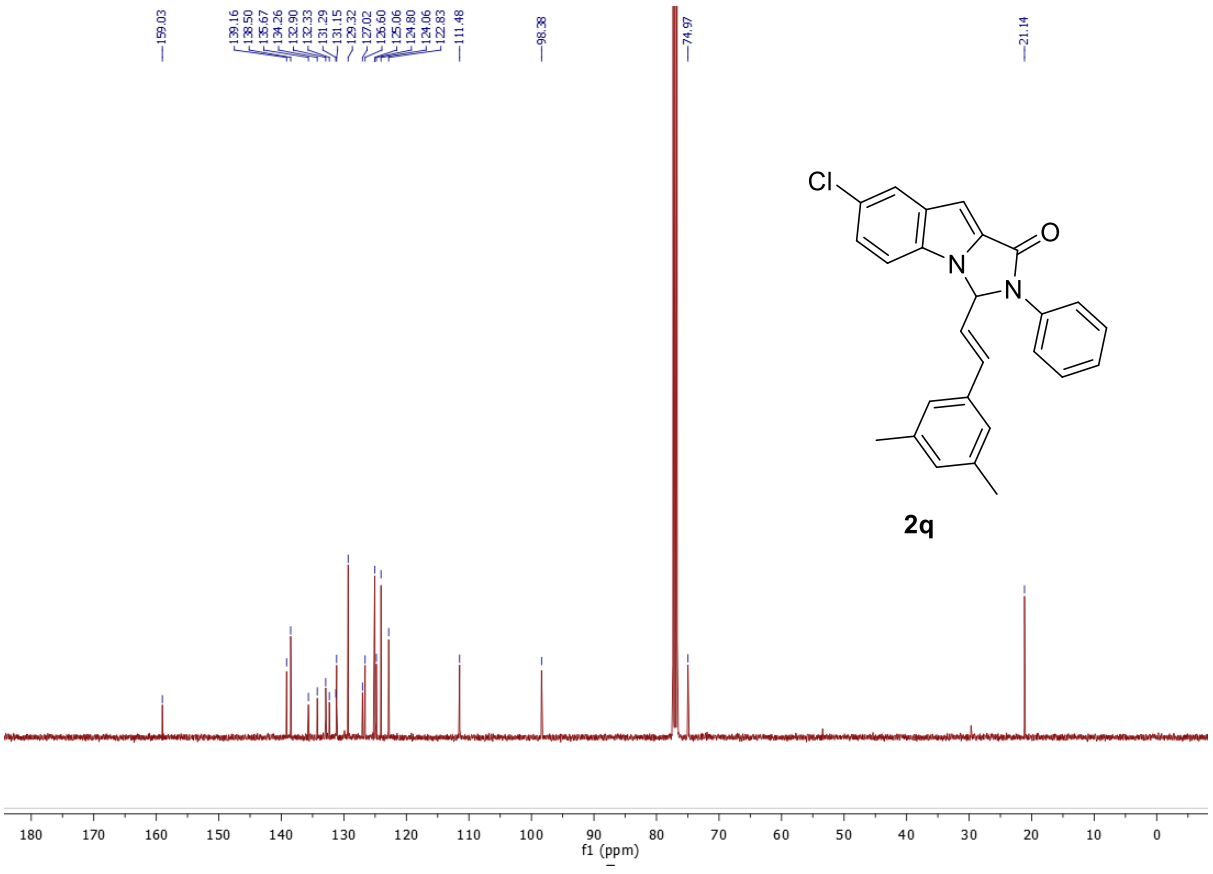


2p

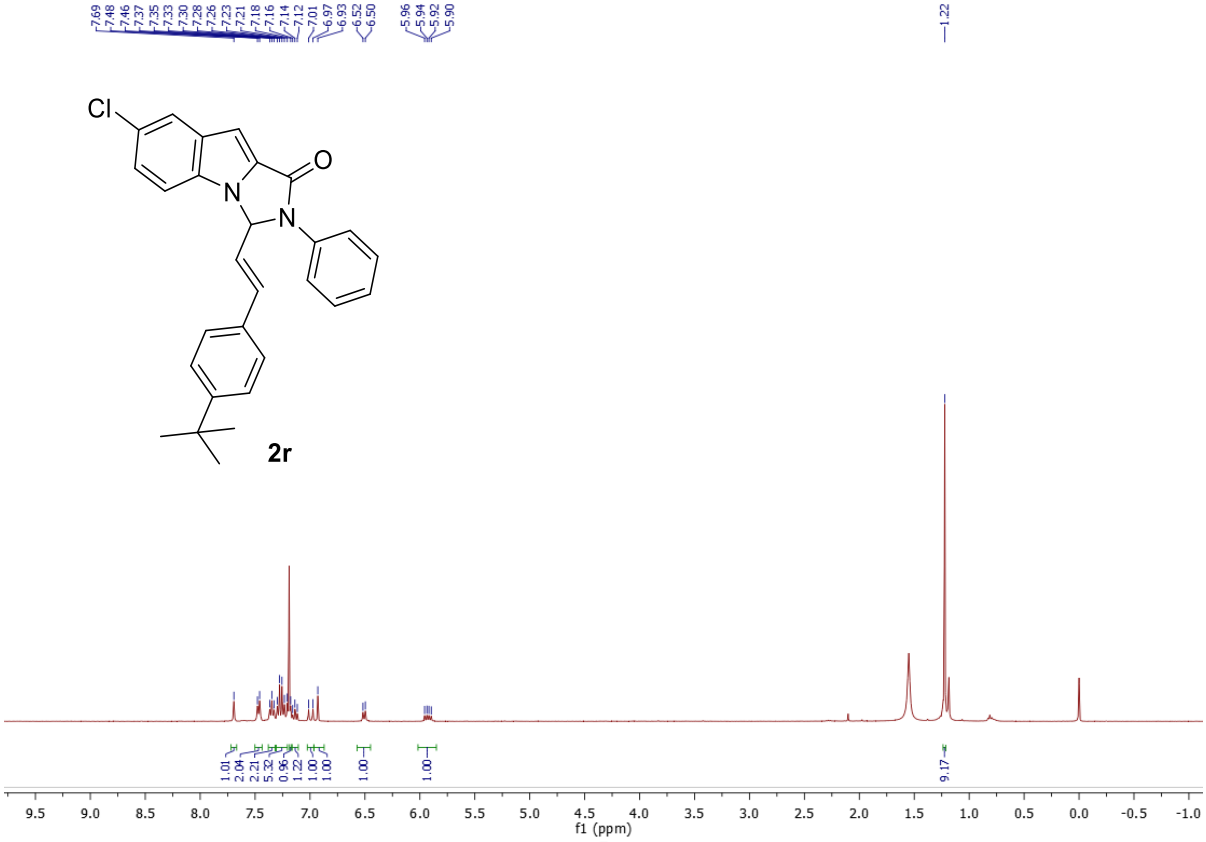


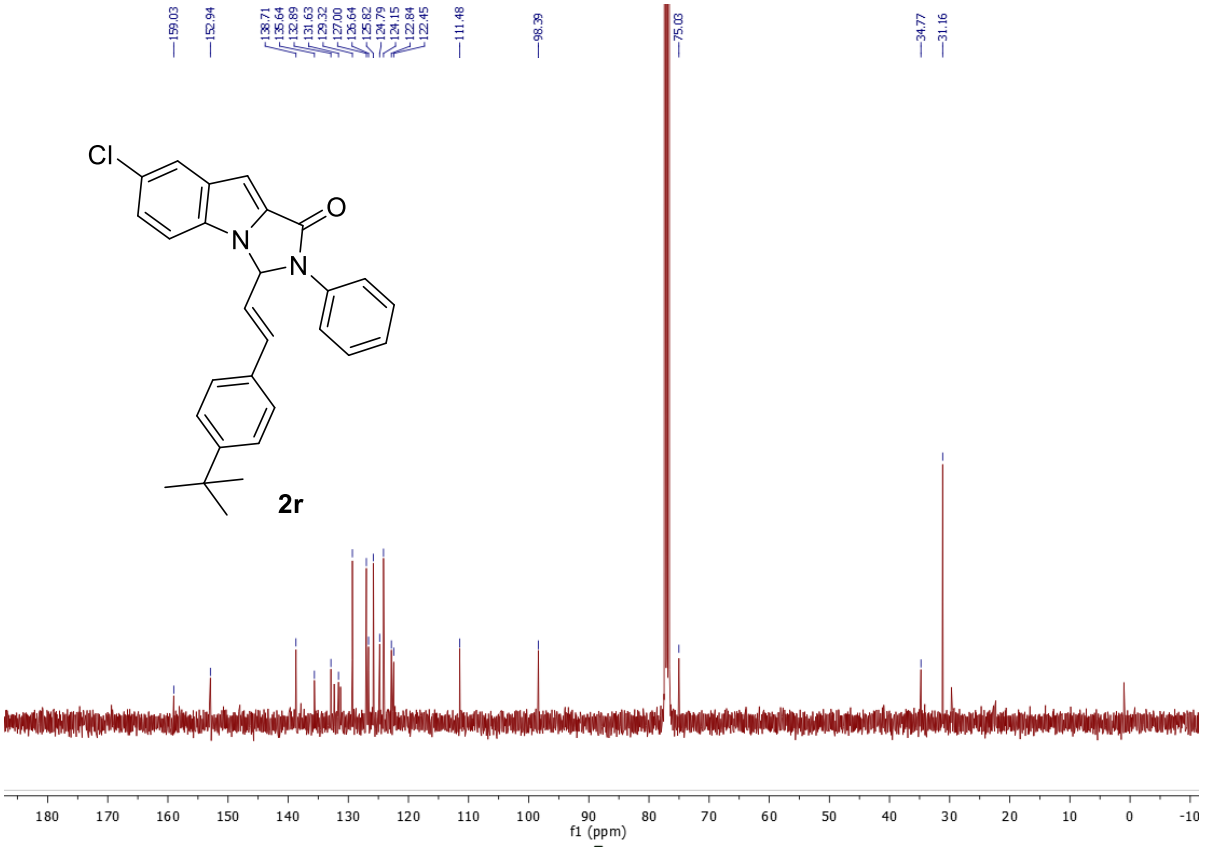
^{1}H and ^{13}C spectra of **2q**



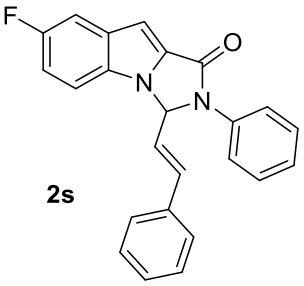


^{1}H and ^{13}C spectra of **2r**

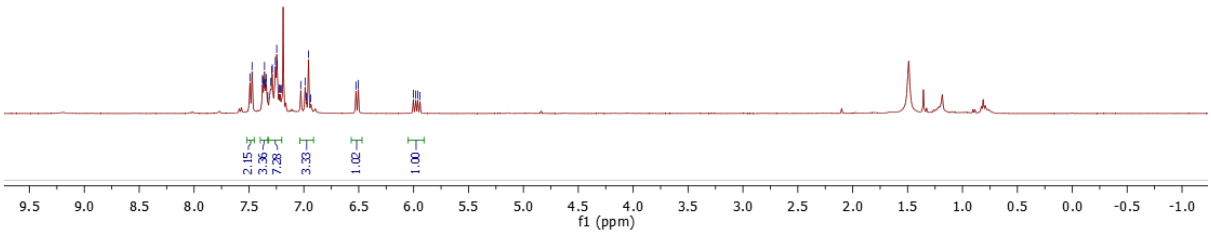


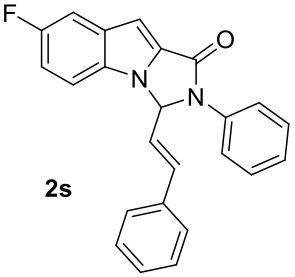


^{1}H and ^{13}C spectra of **2s**

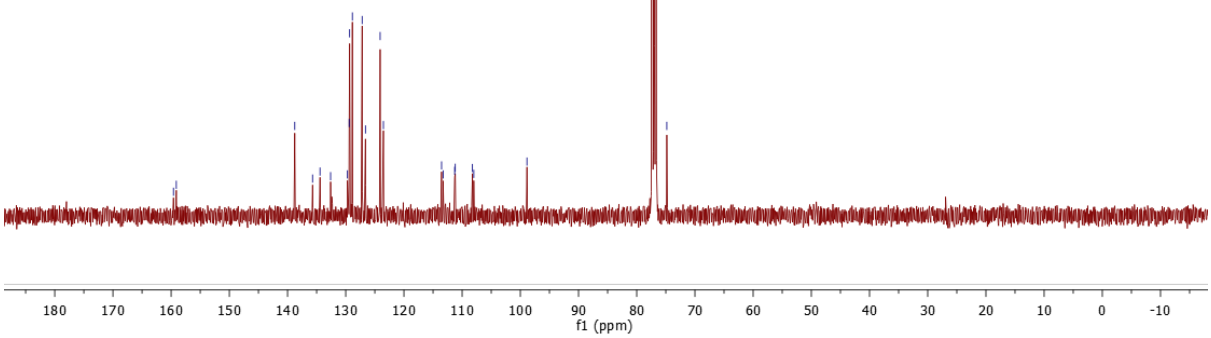


2s

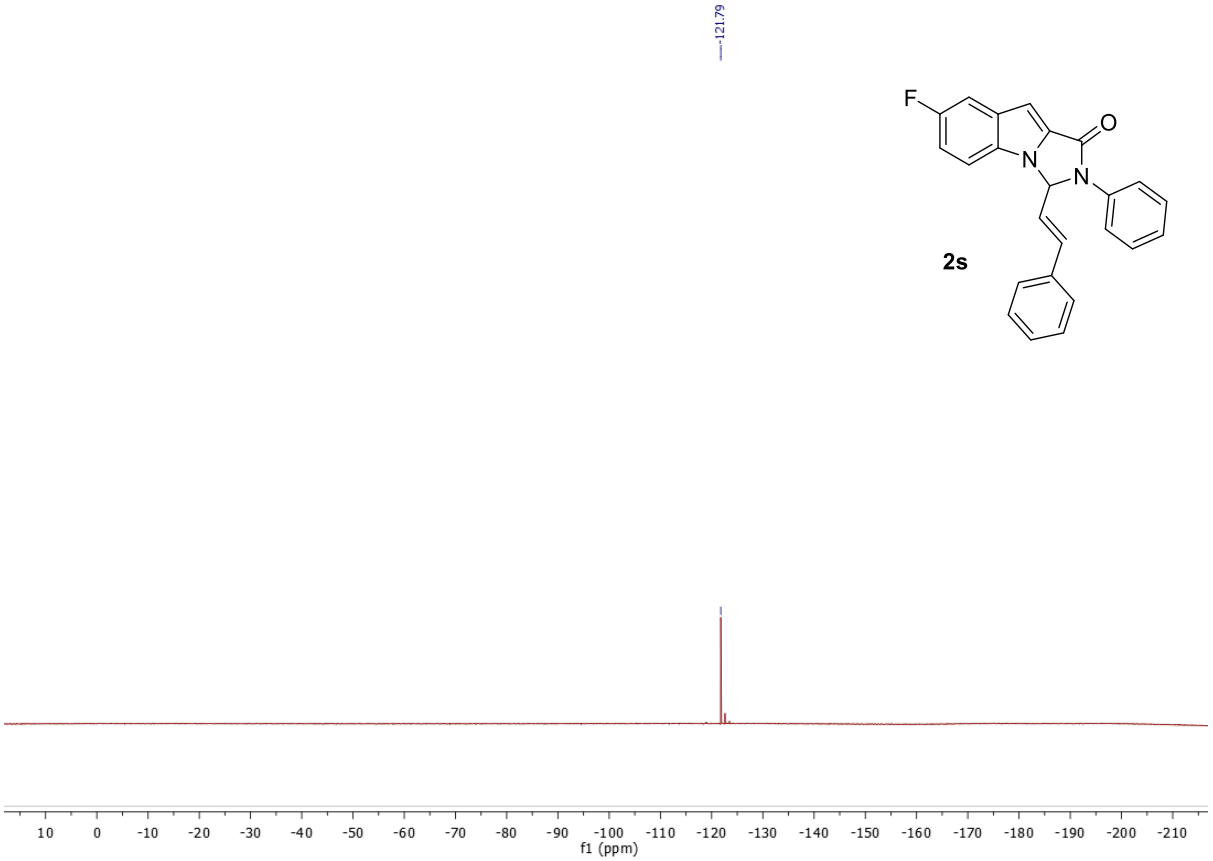




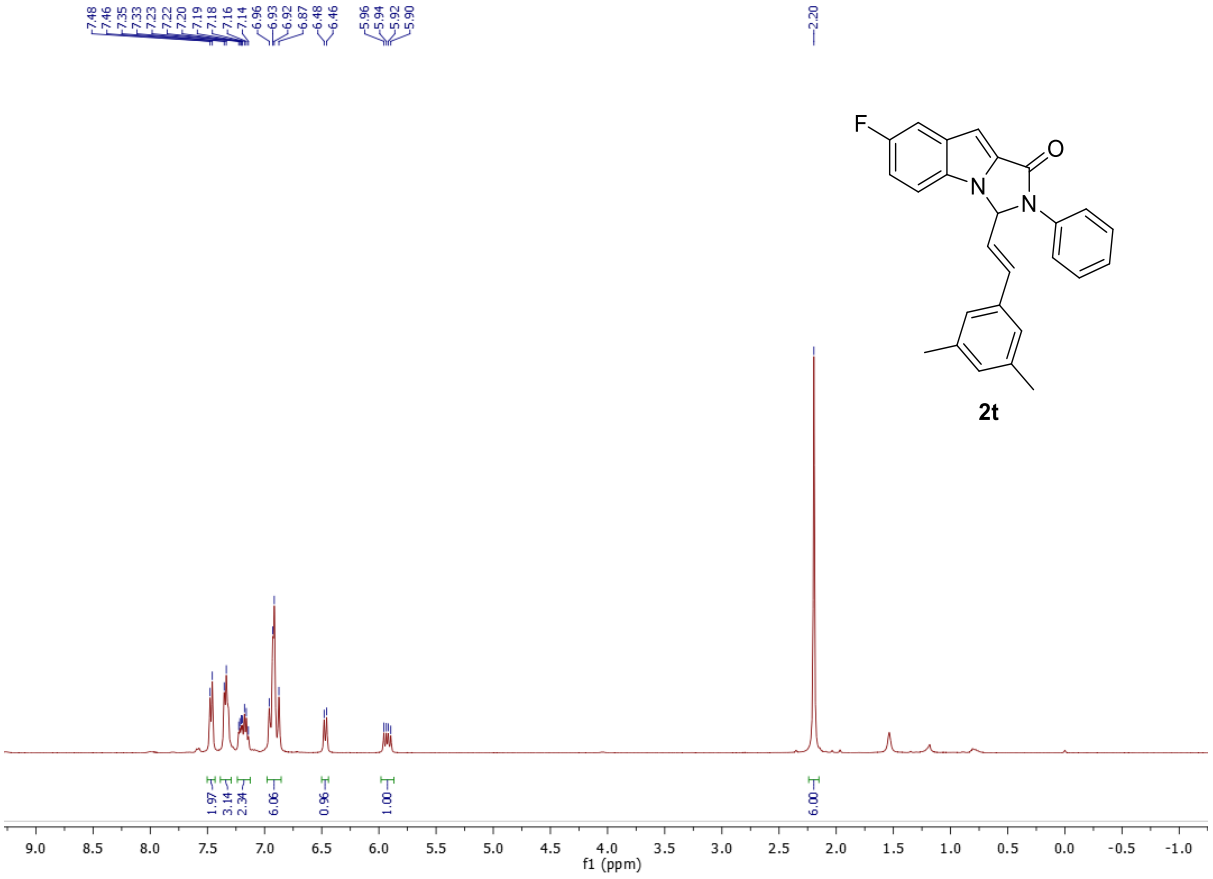
2s

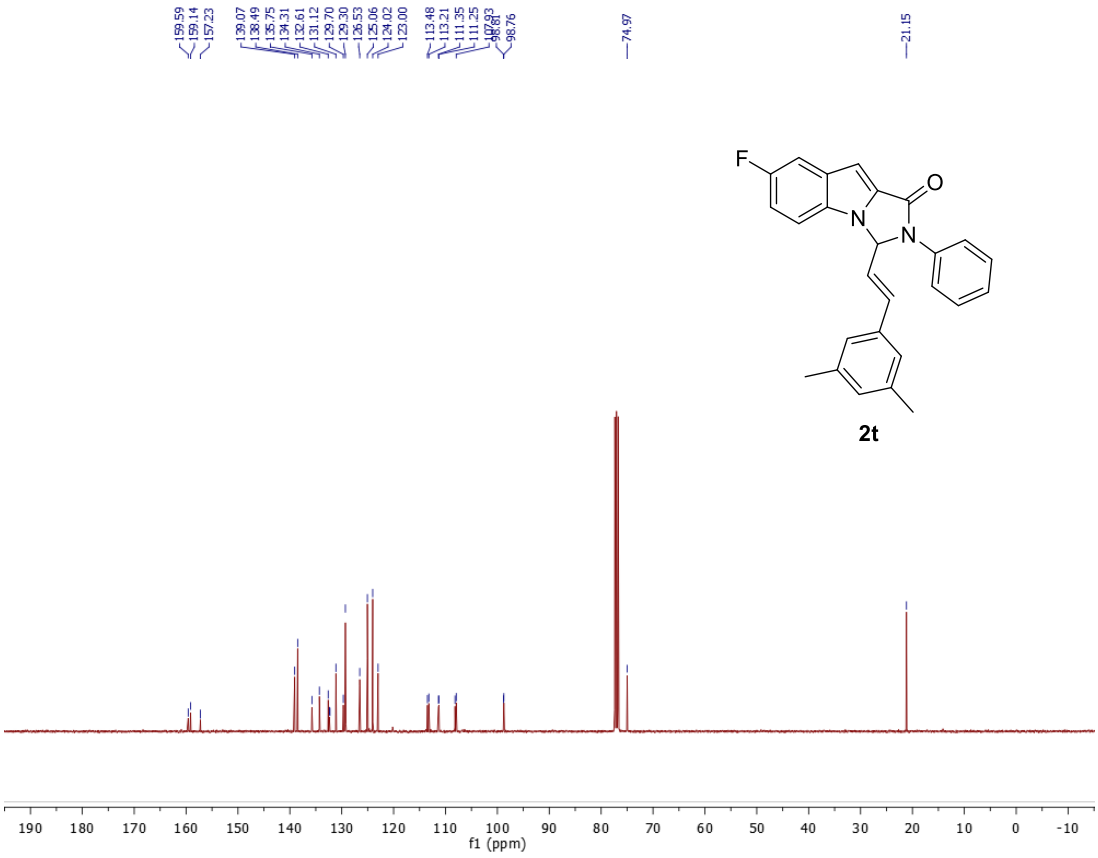


^{19}F spectra of **2s**

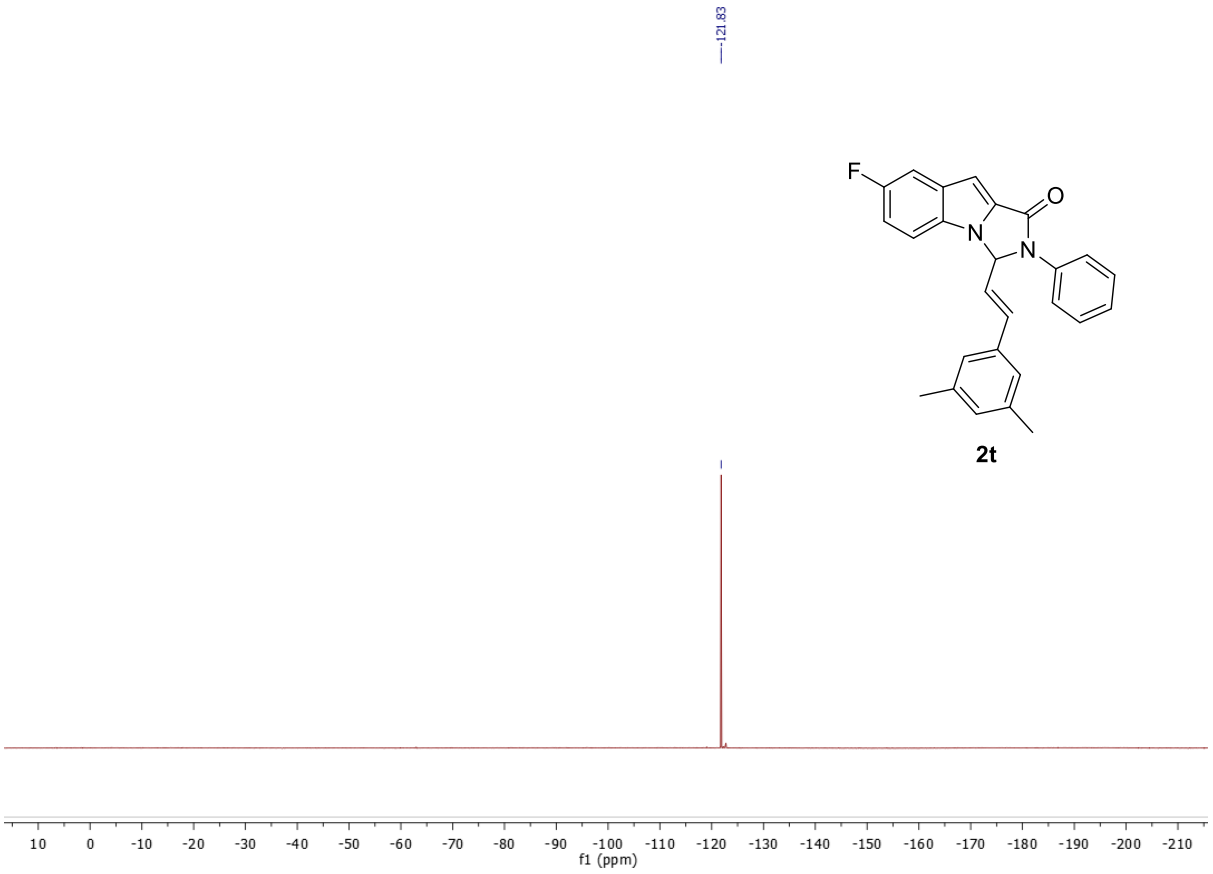


^{1}H and ^{13}C spectra of **2t**

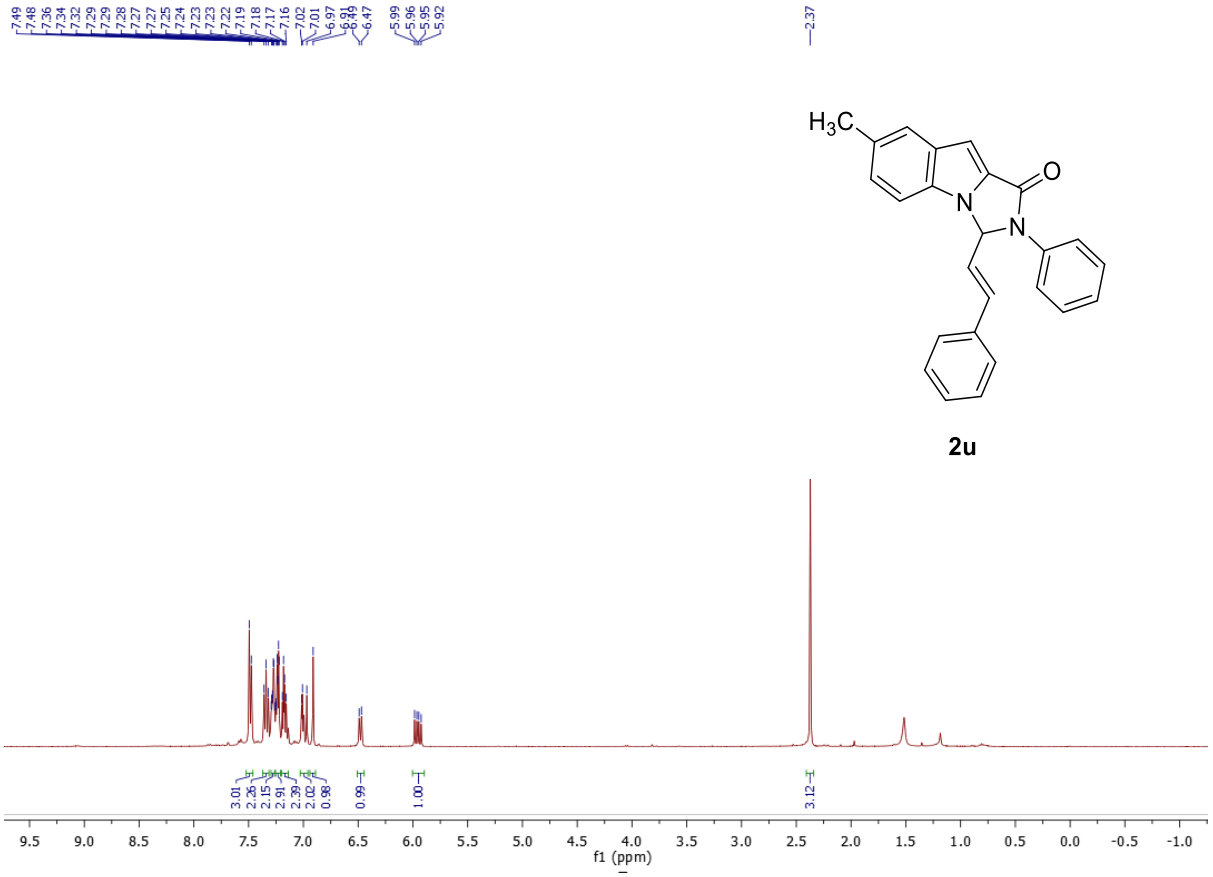


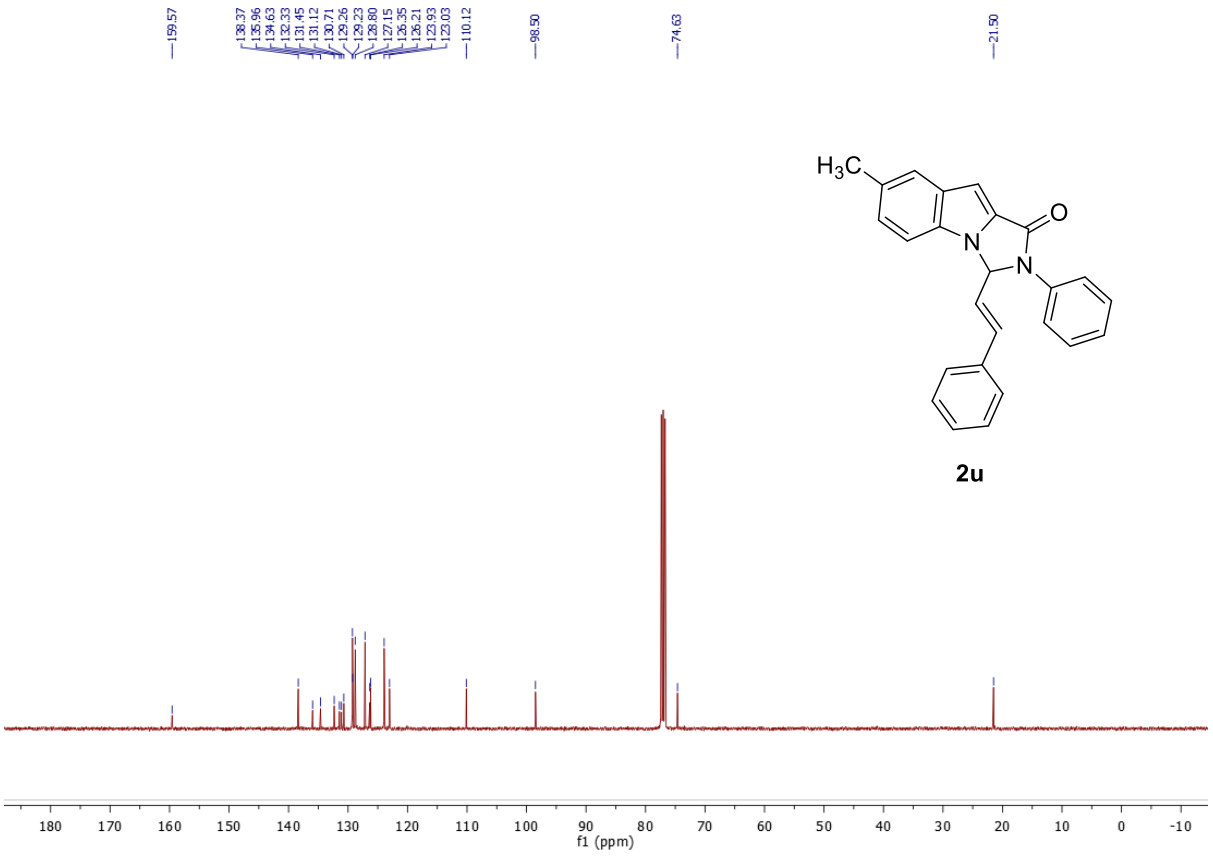


^{19}F spectra of **2t**

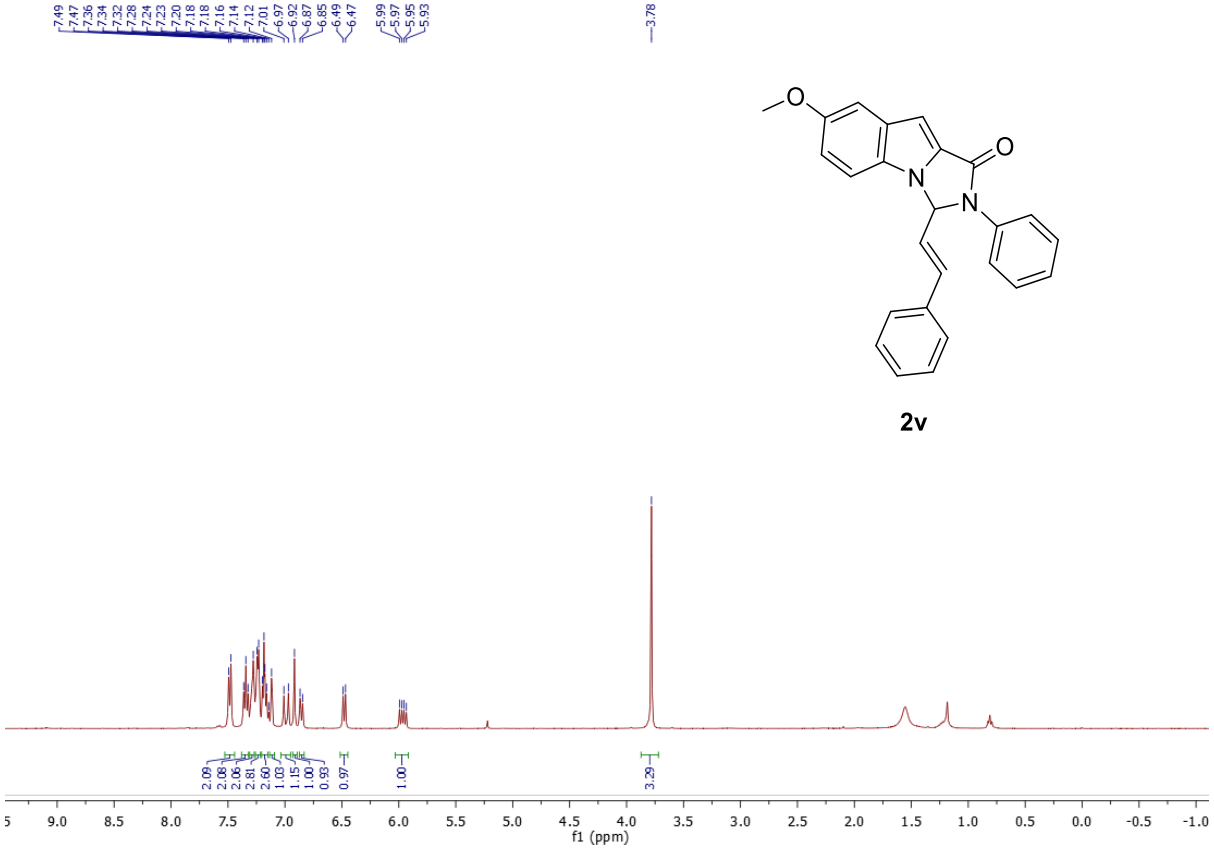


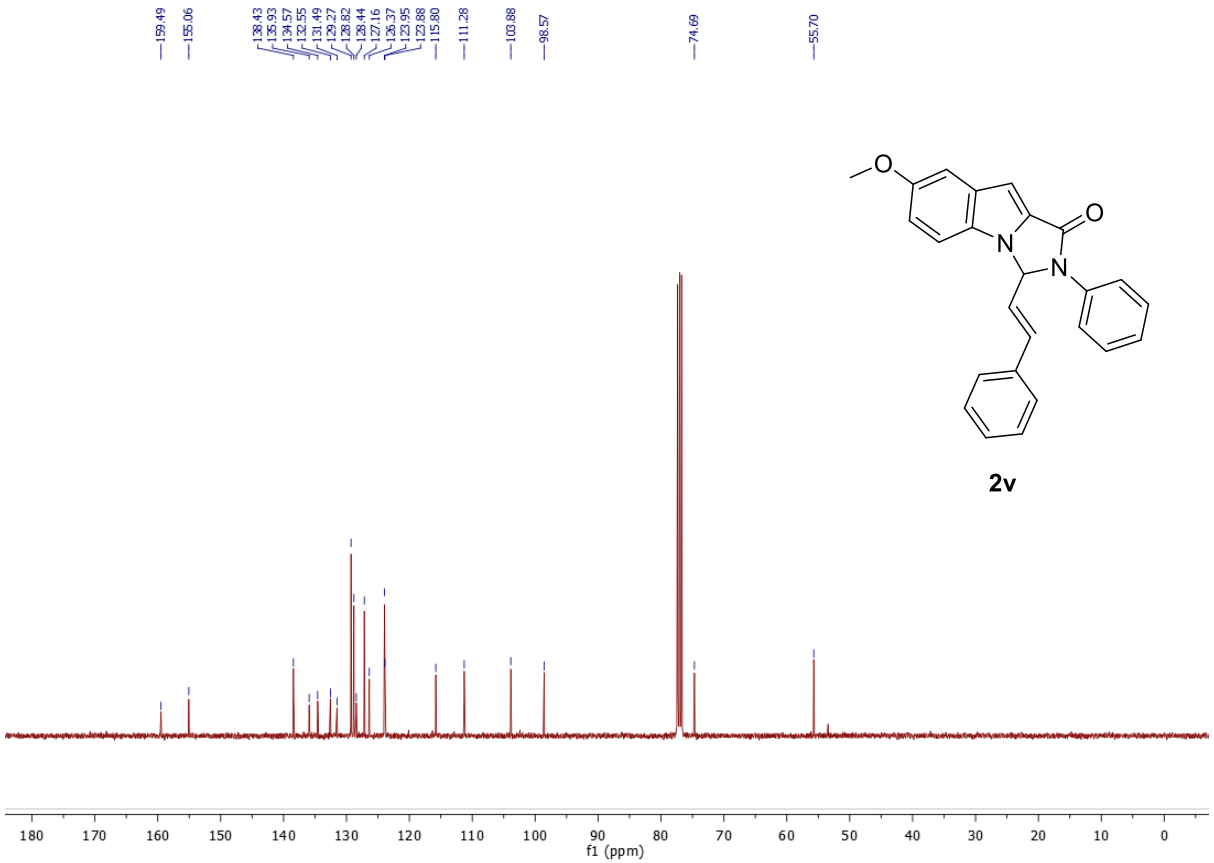
^{1}H and ^{13}C spectra of **2u**



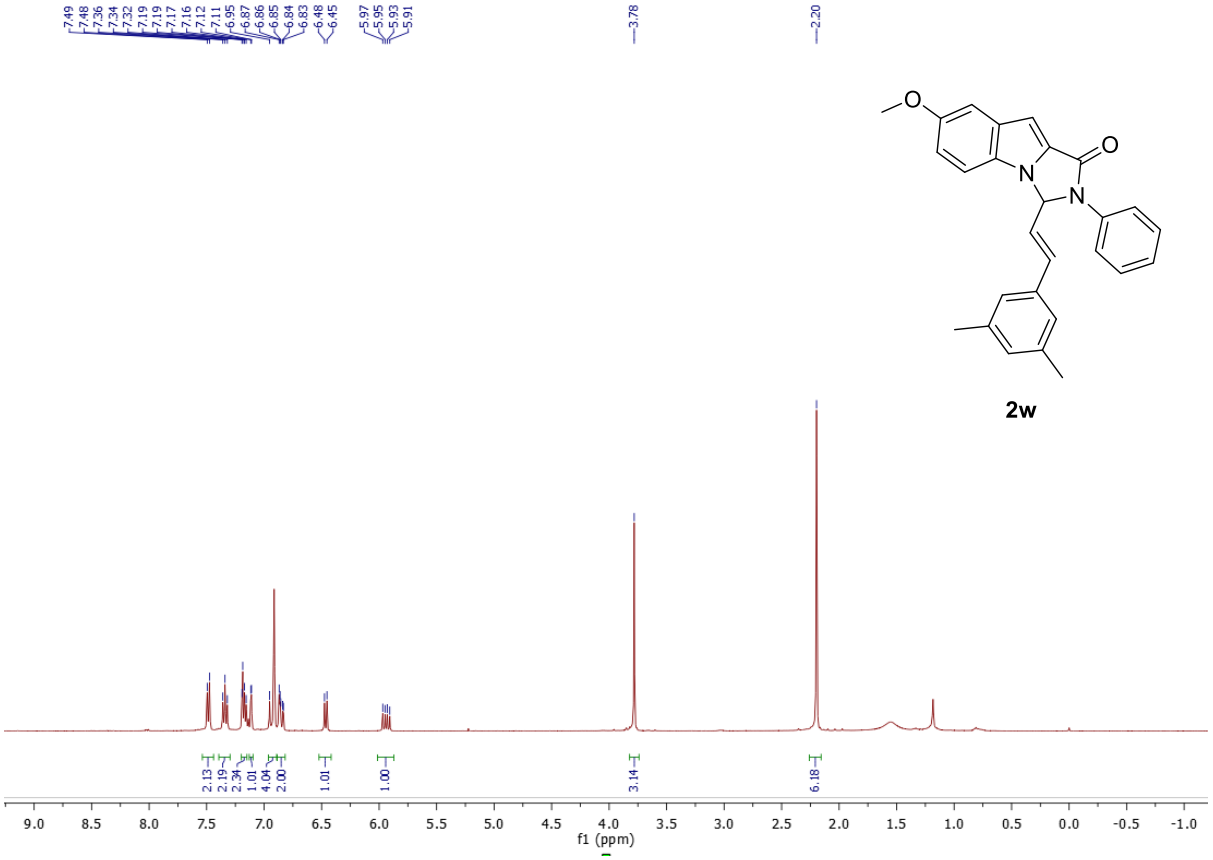


^{1}H and ^{13}C spectra of **2v**

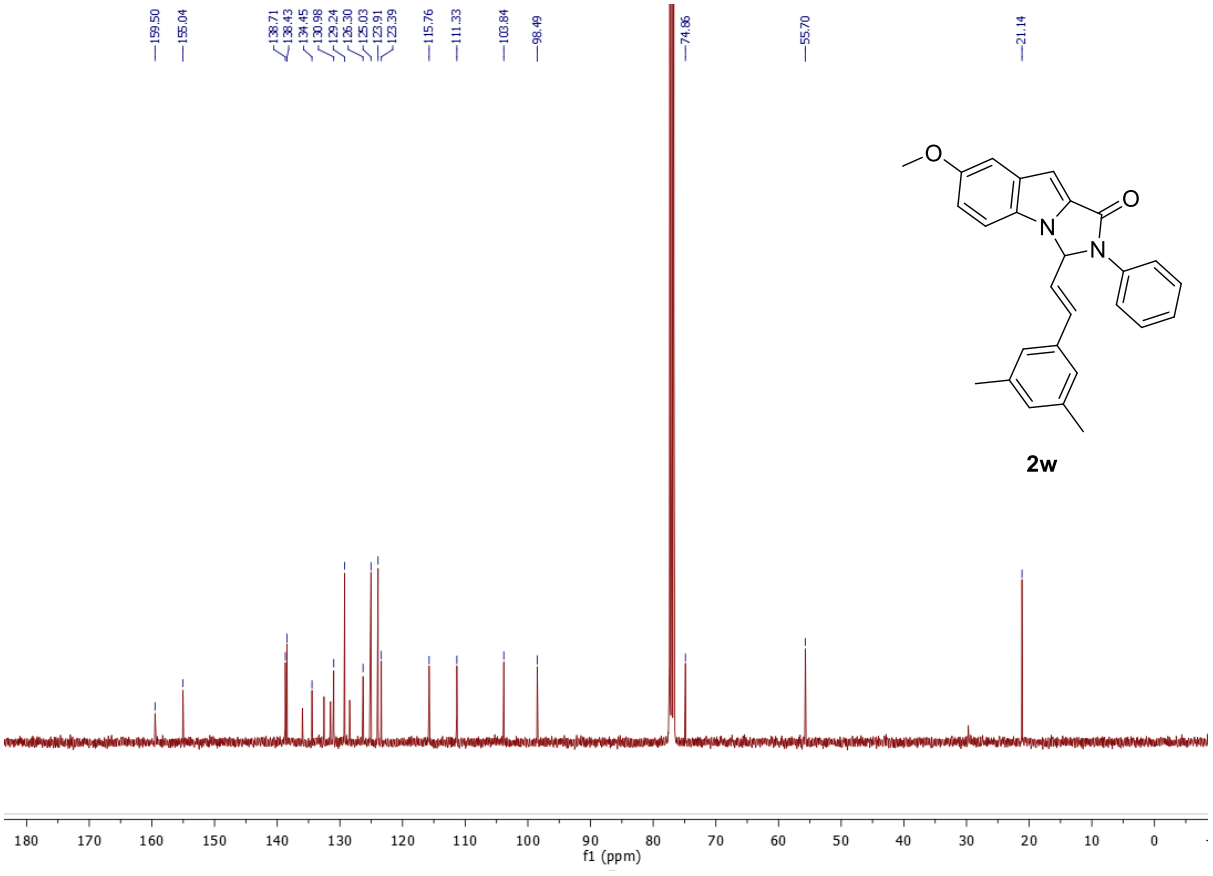




^{1}H and ^{13}C spectra of **2w**

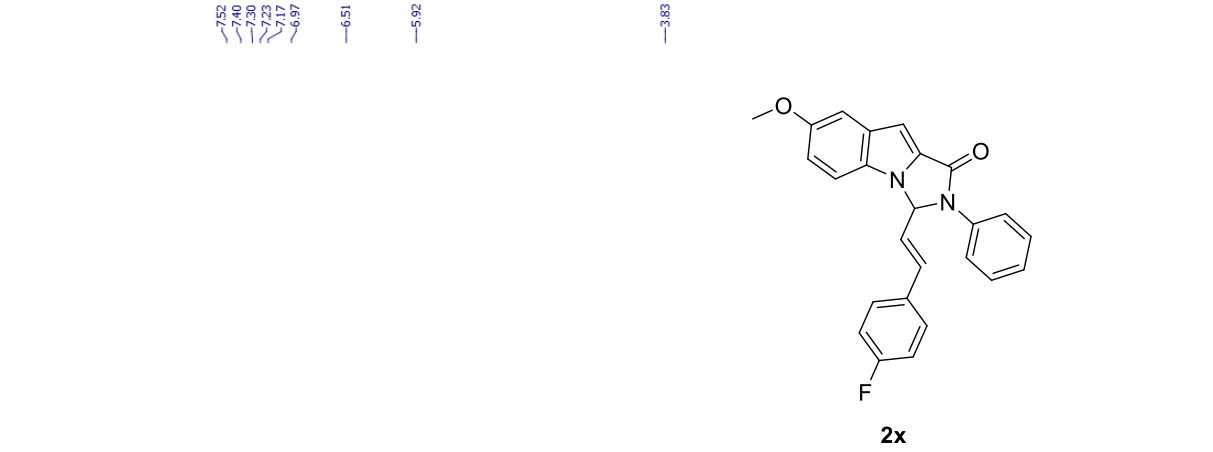


2w

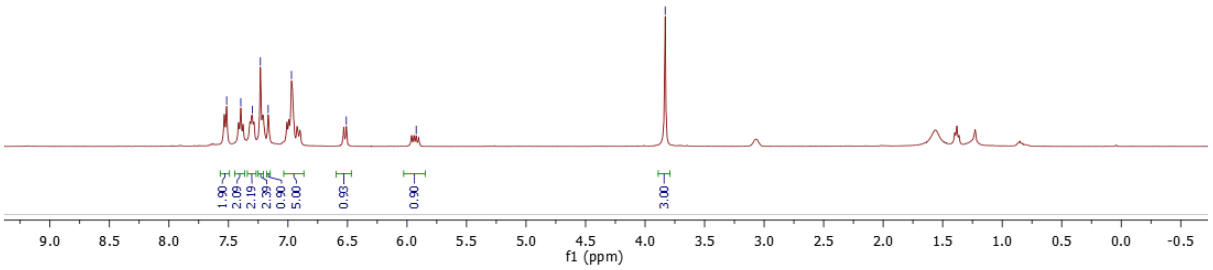


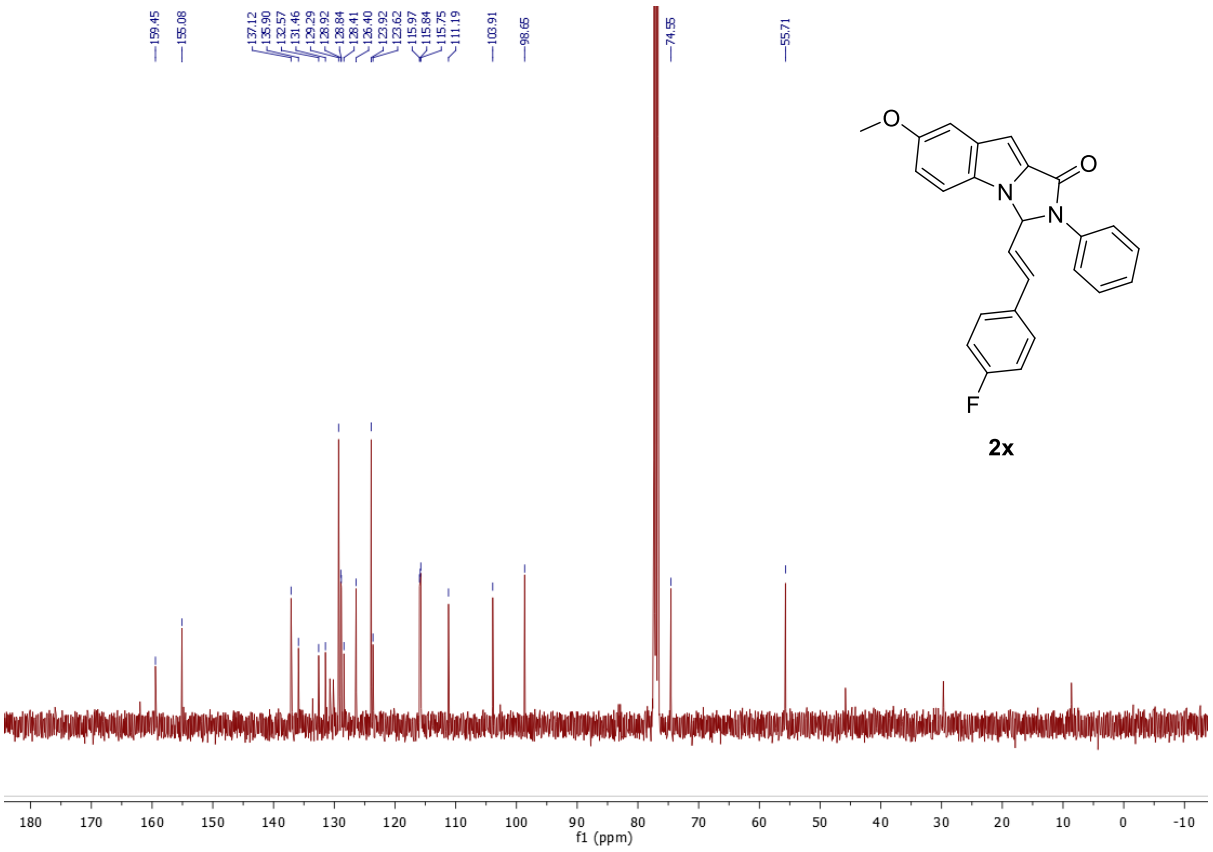
2w

^{1}H and ^{13}C spectra of **2x**



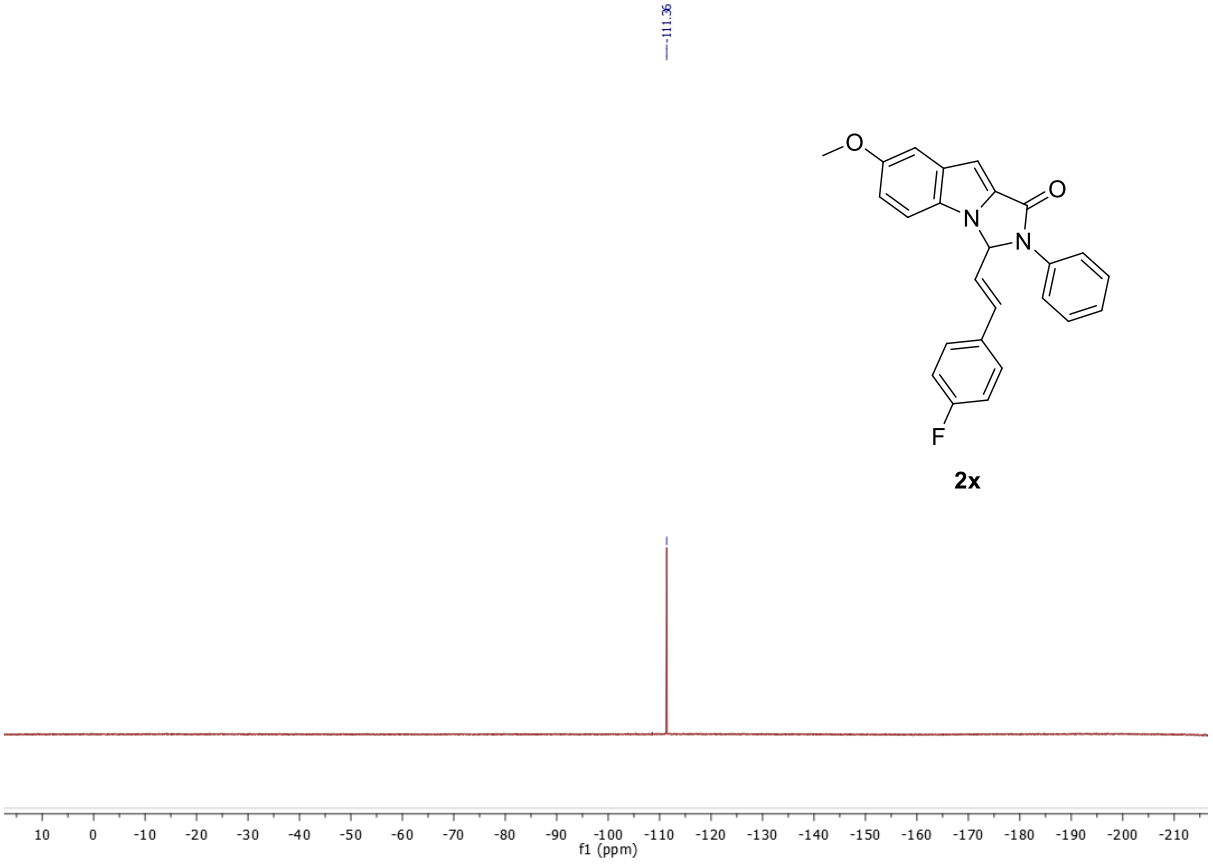
2x



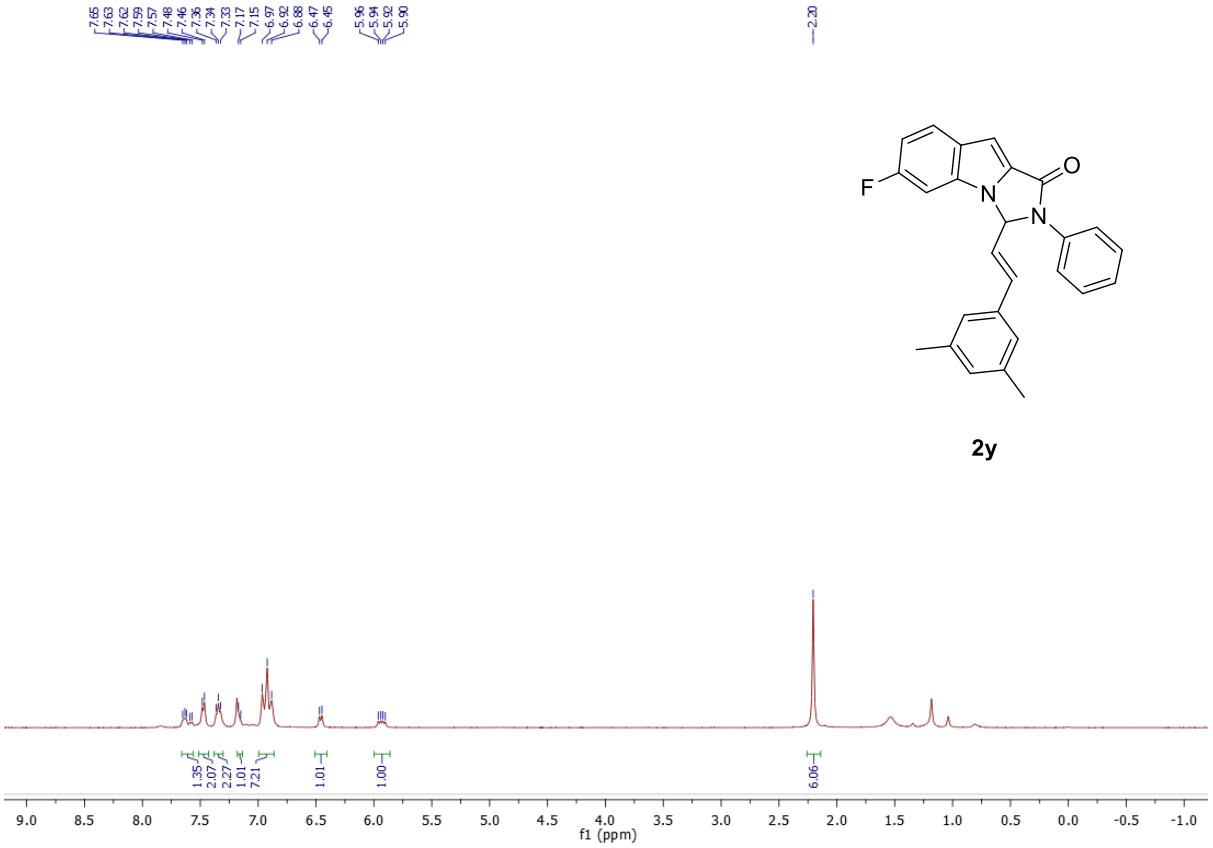


2x

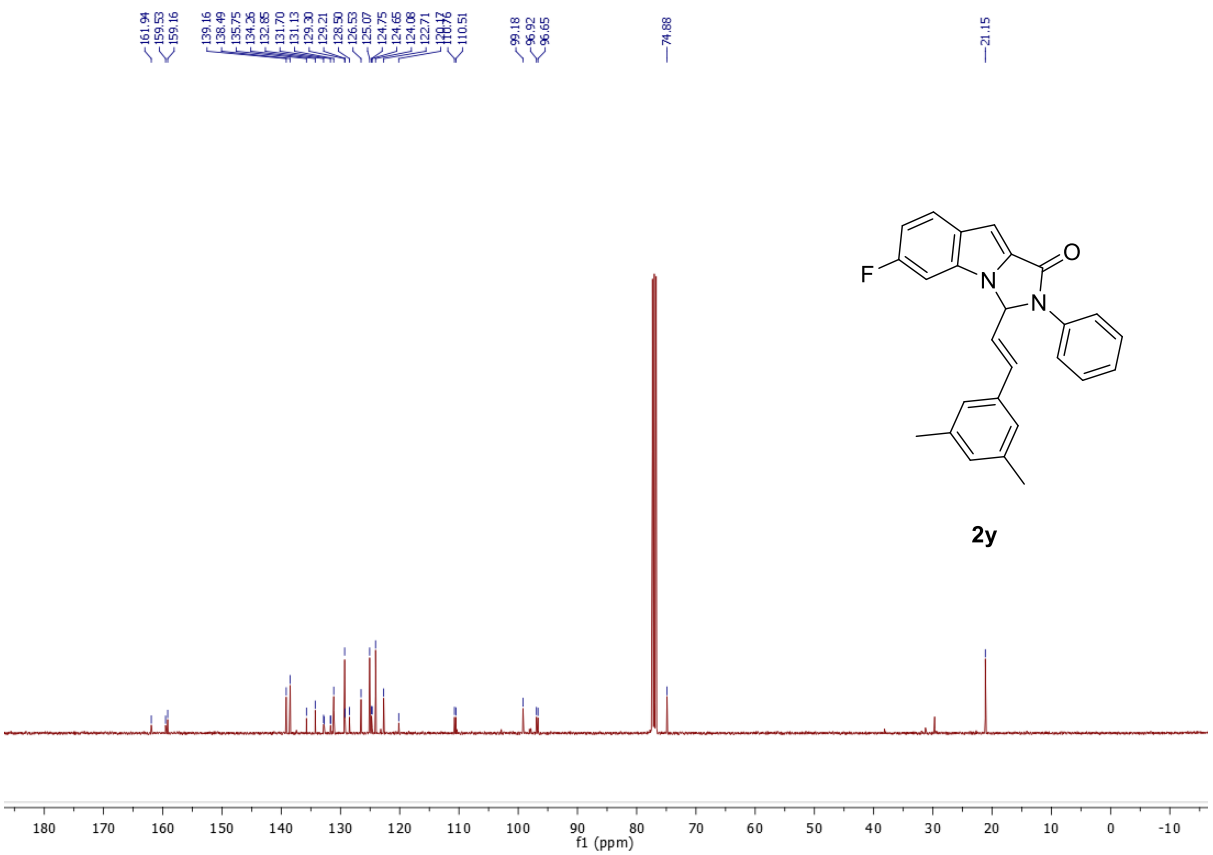
^{19}F spectra of **2x**



^{1}H and ^{13}C spectra of **2y**

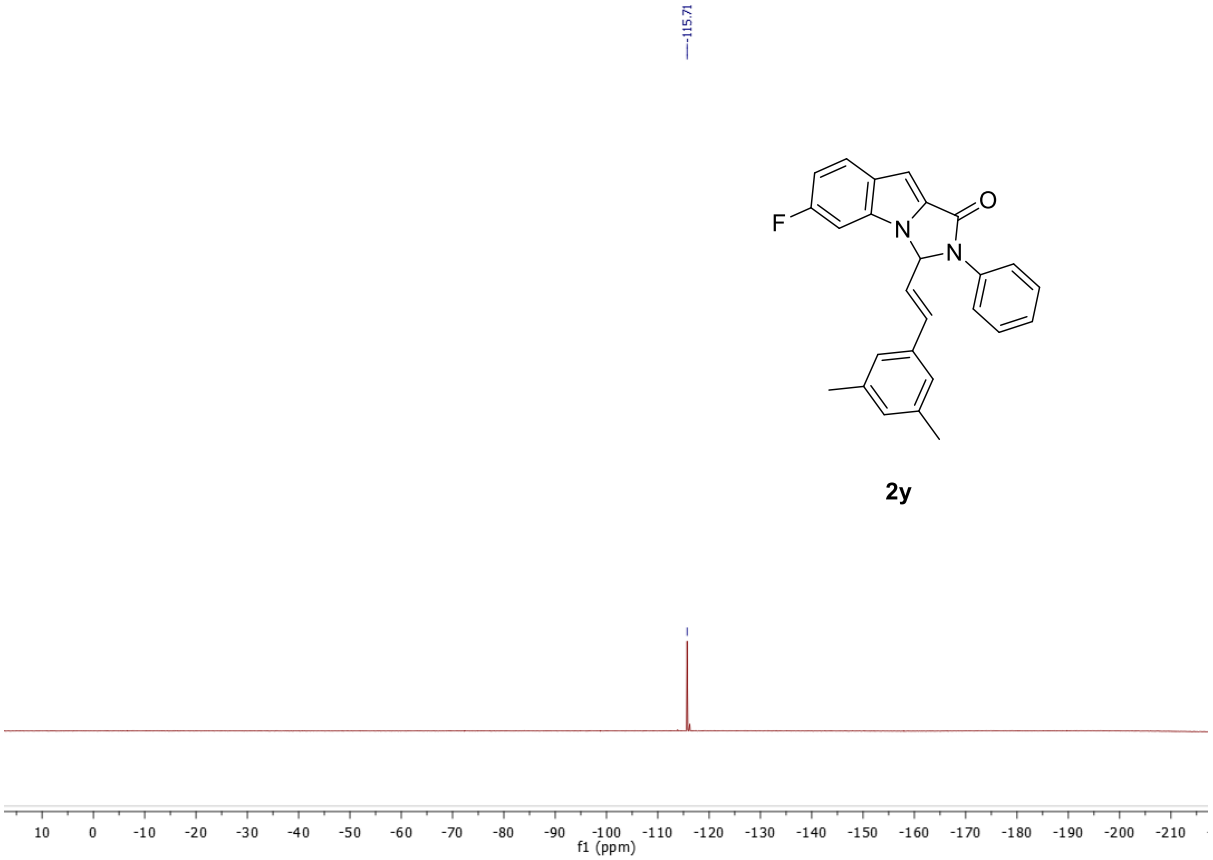


2y



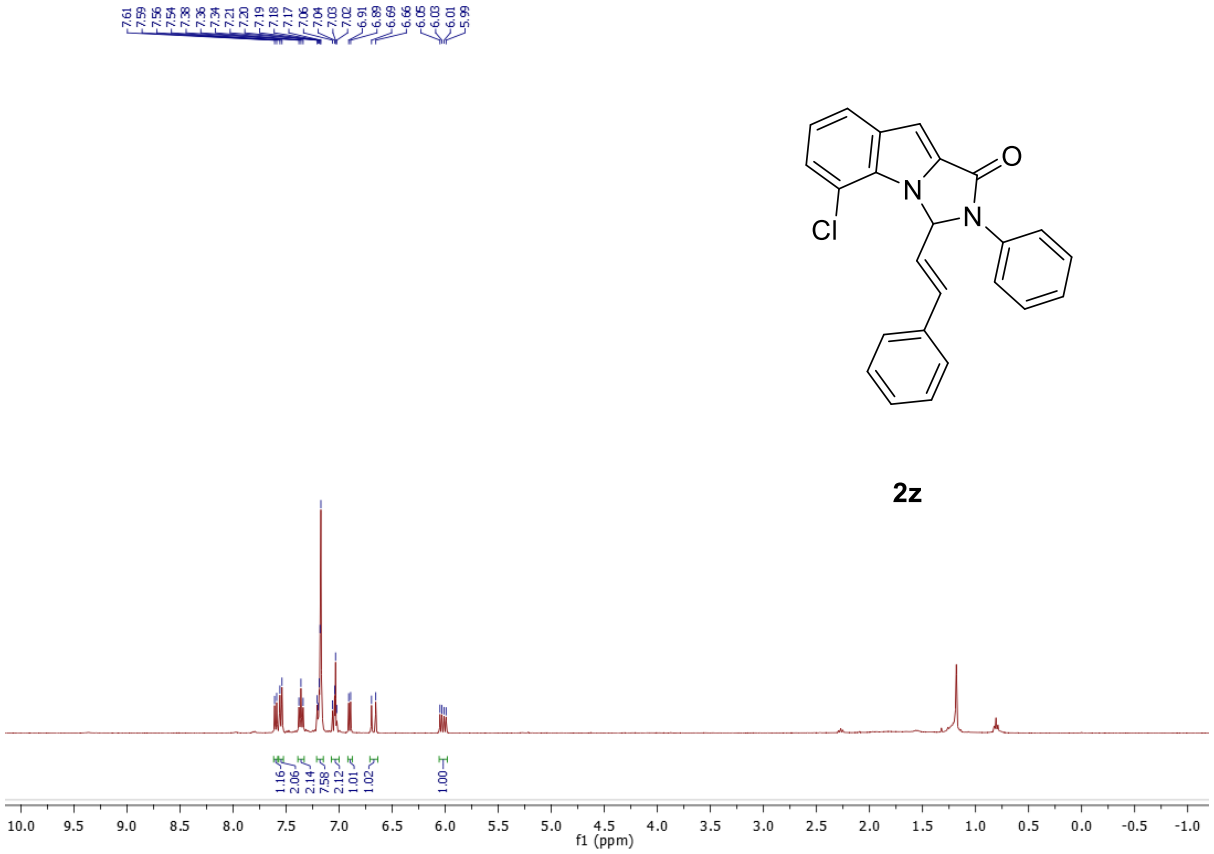
2y

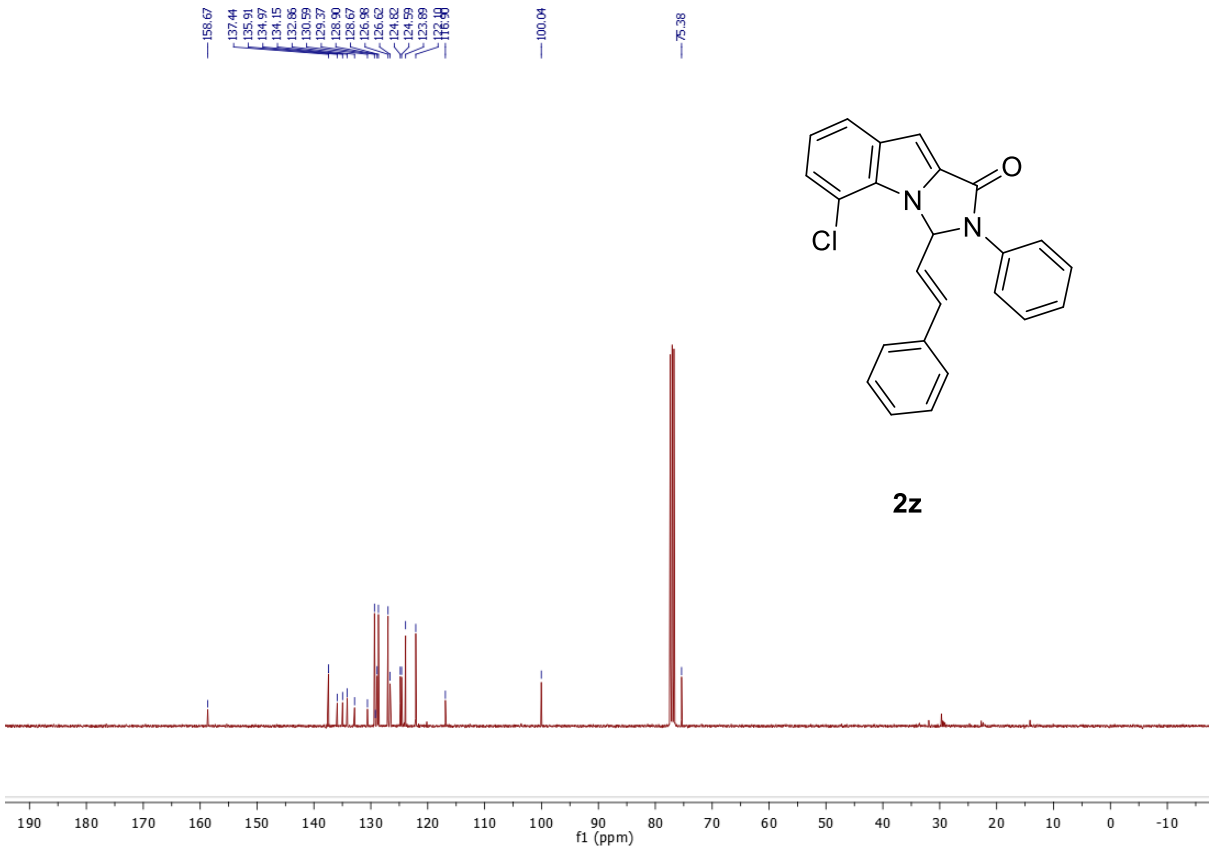
^{19}F spectra of **2y**



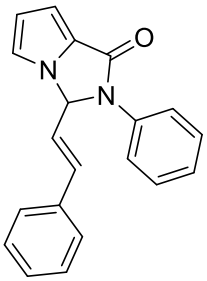
2y

^{1}H and ^{13}C spectra of **2z**

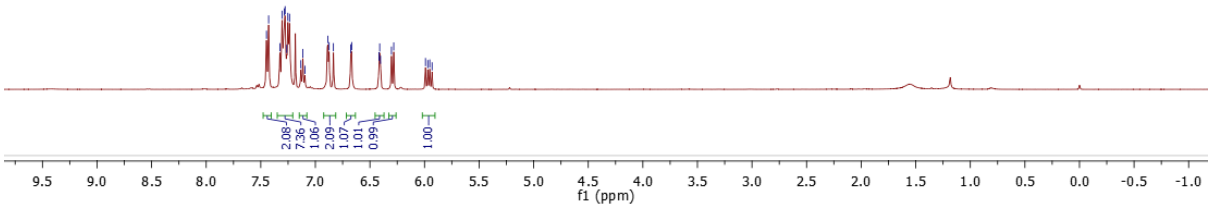


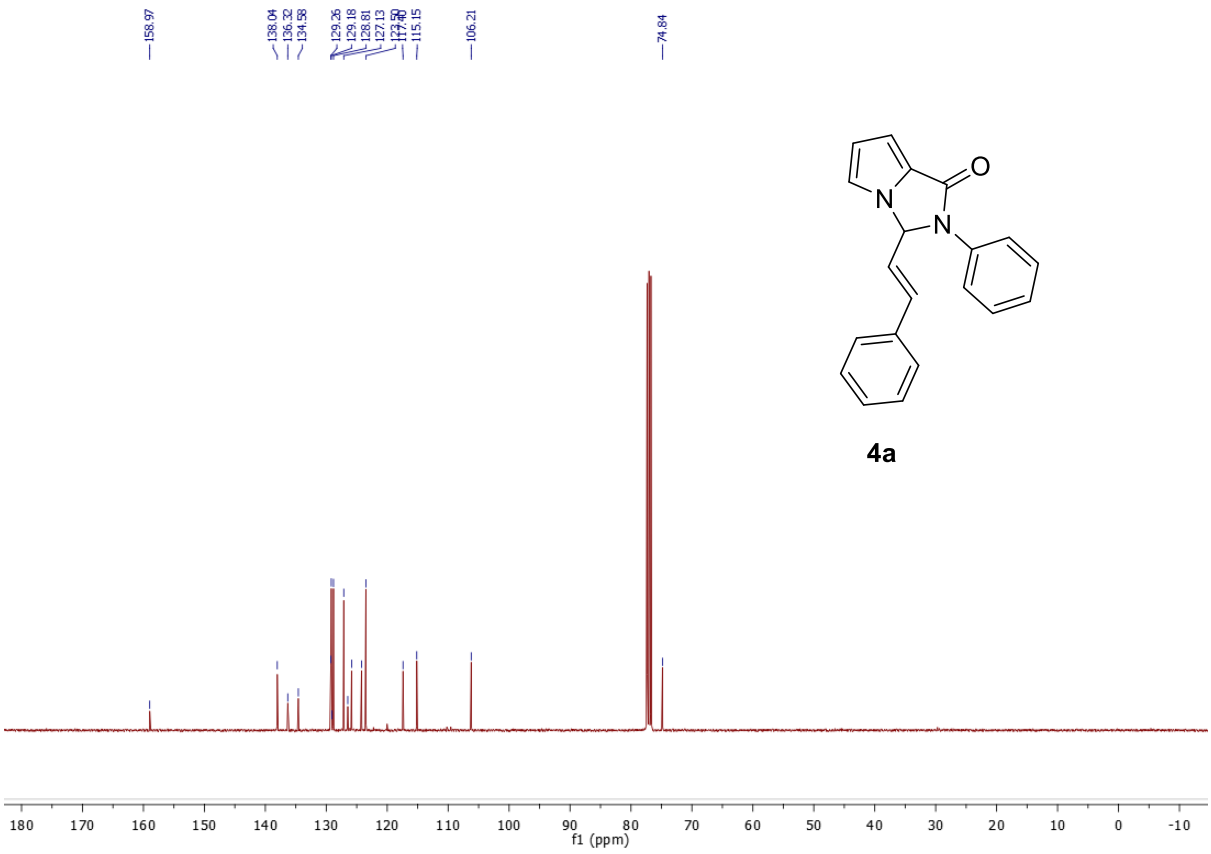


^{1}H and ^{13}C spectra of **4a**



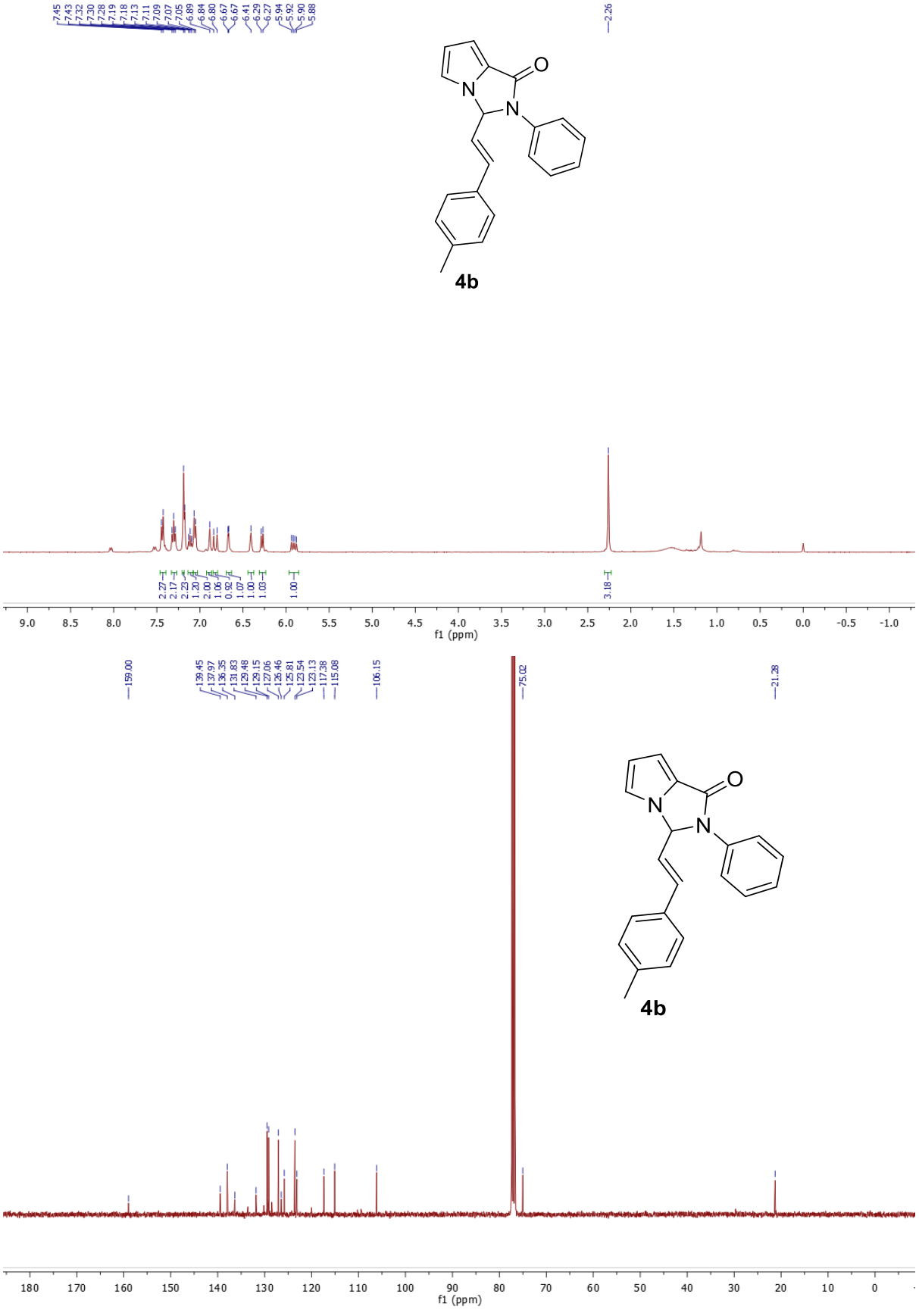
4a





4a

^{1}H and ^{13}C spectra of **4b**



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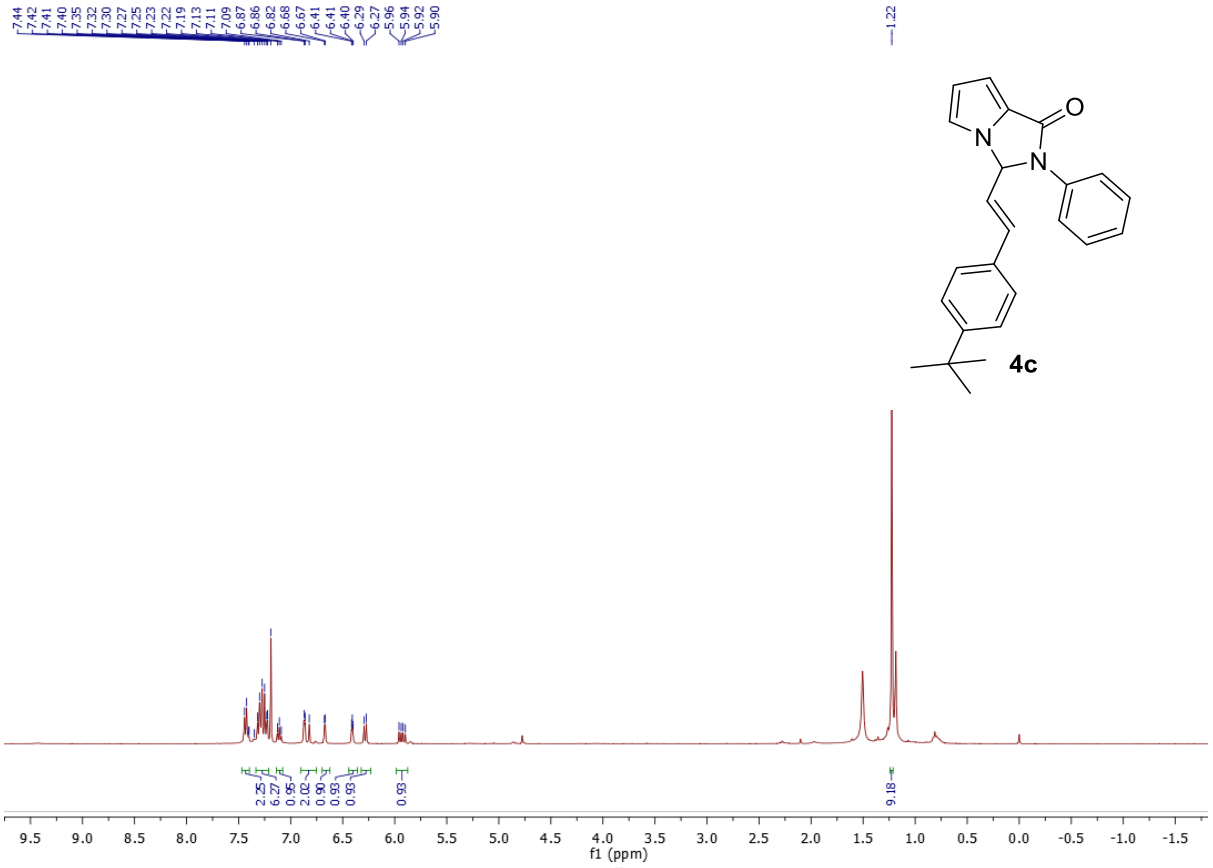
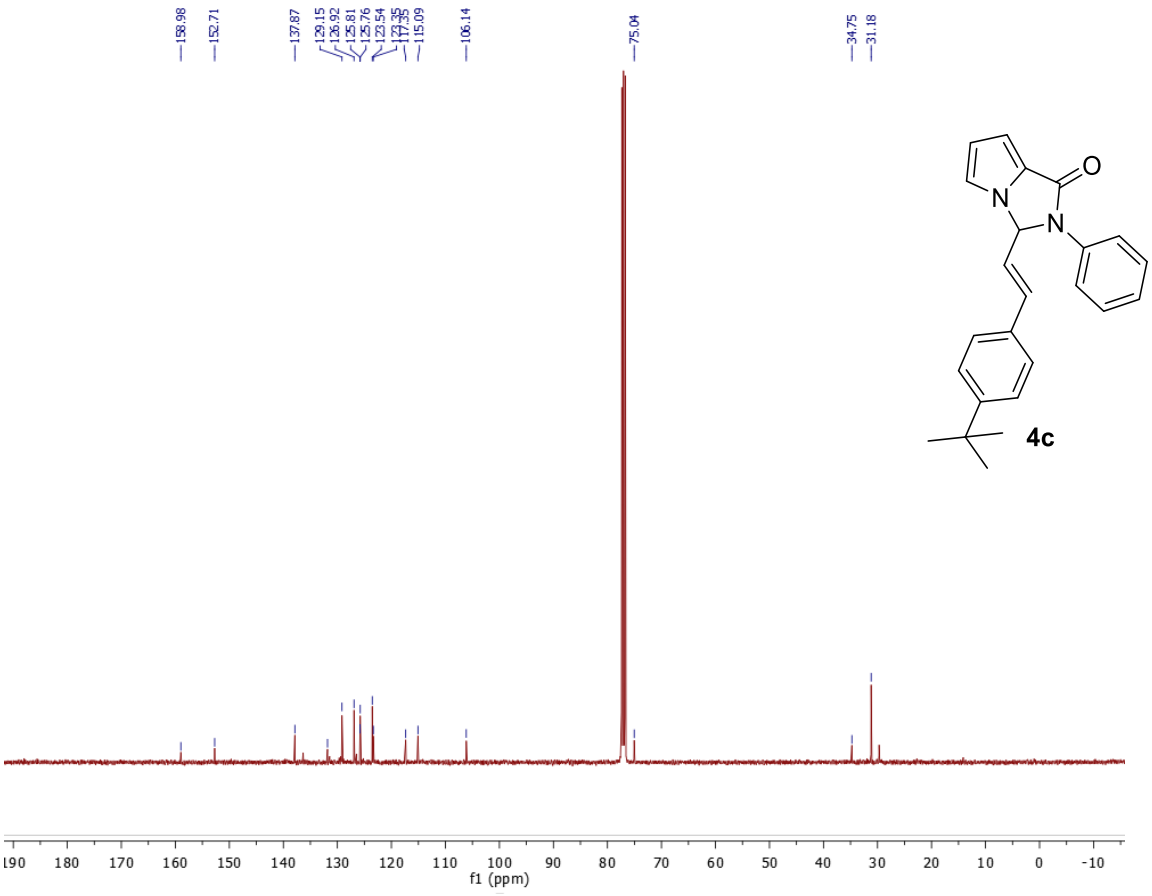
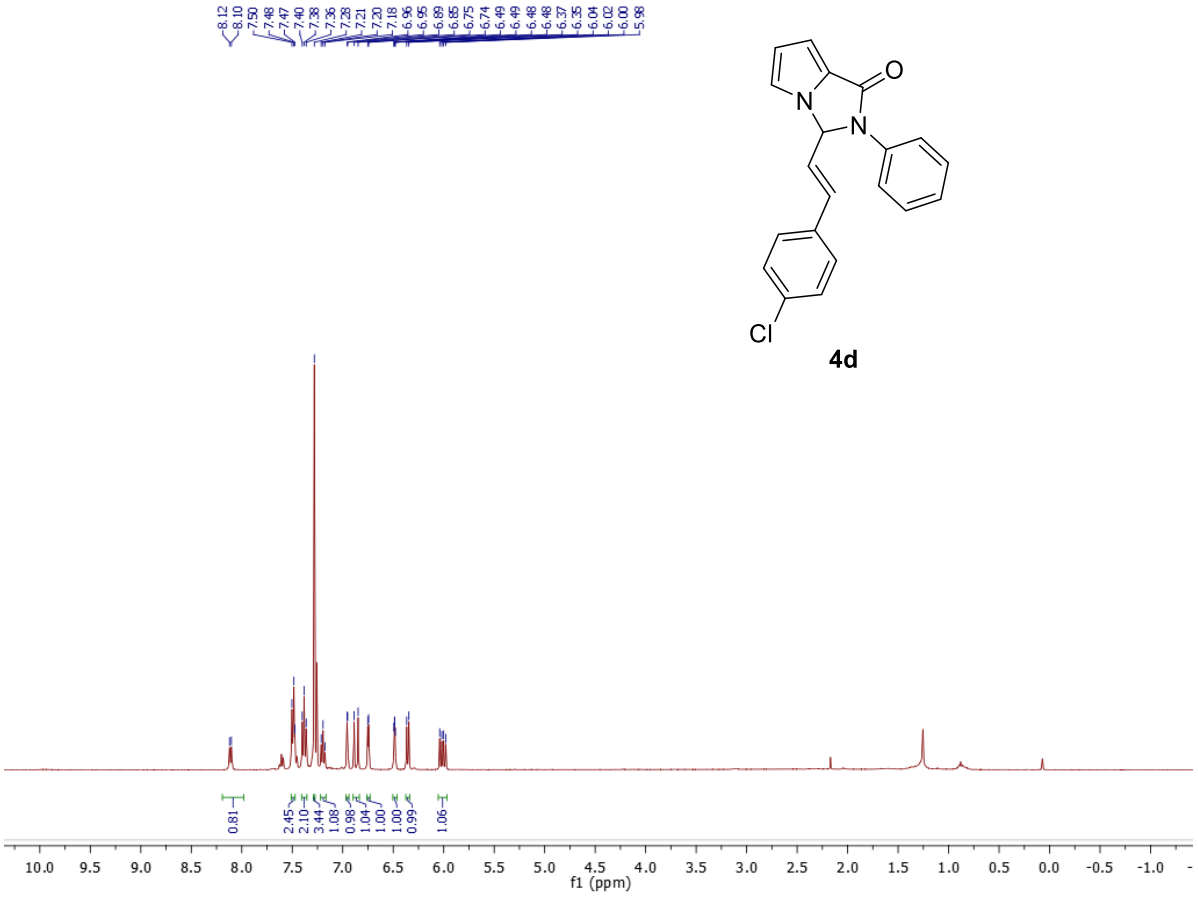
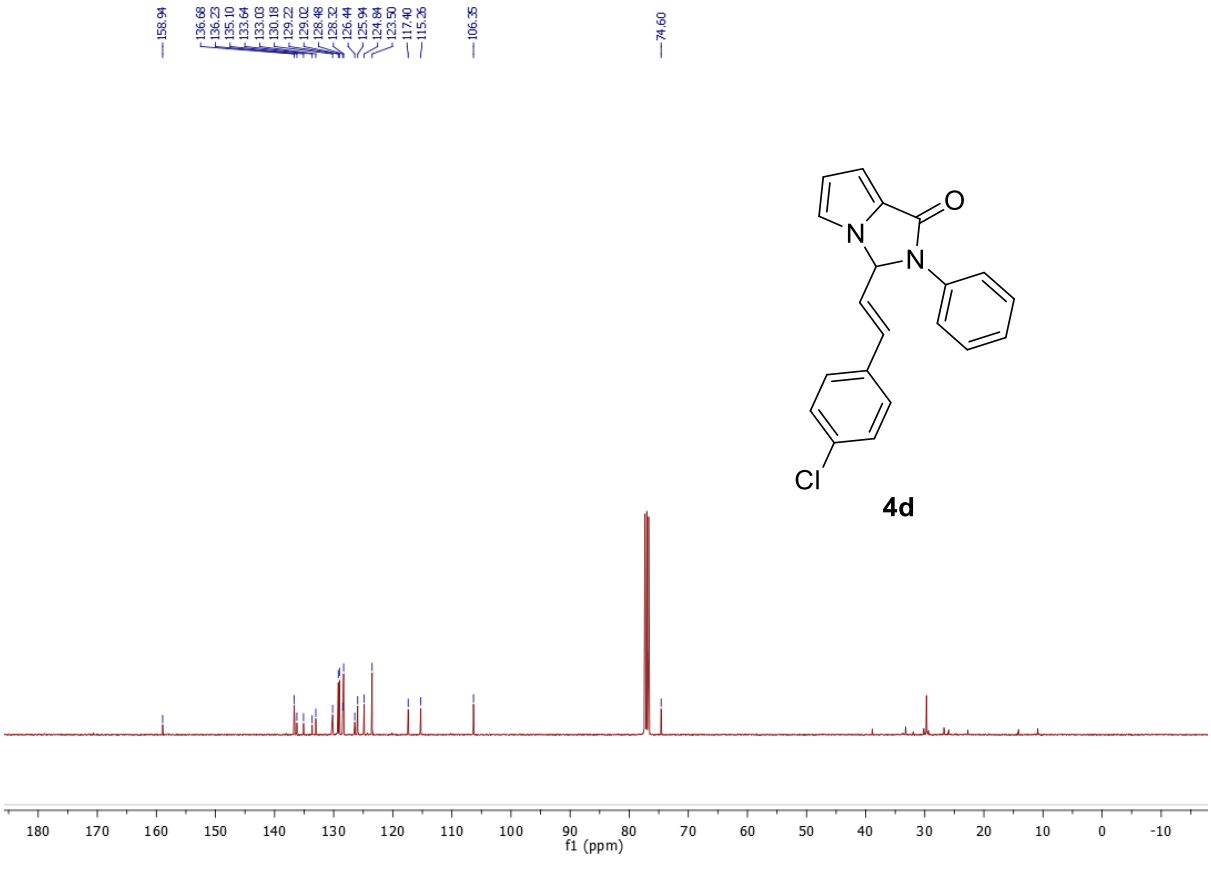
^{1}H and ^{13}C spectra of **4c**



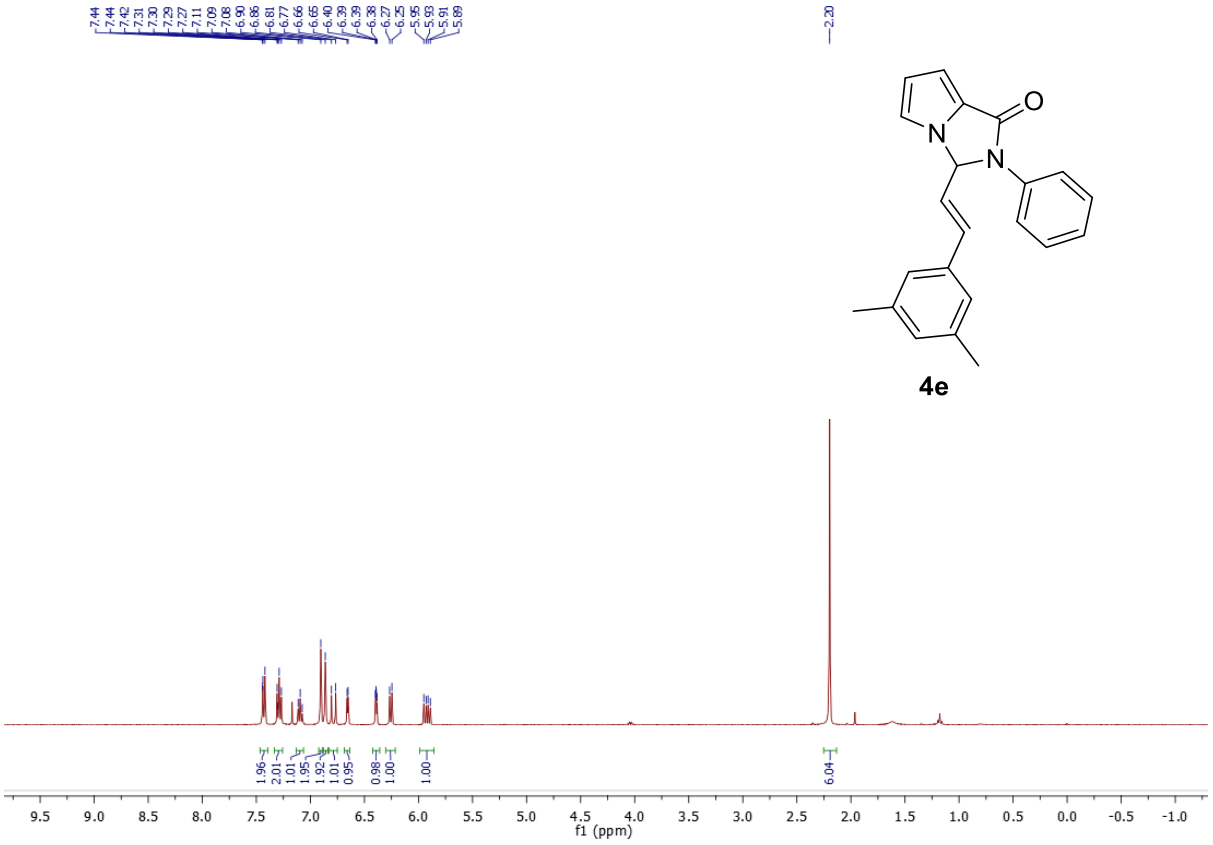


^{1}H and ^{13}C spectra of **4d**

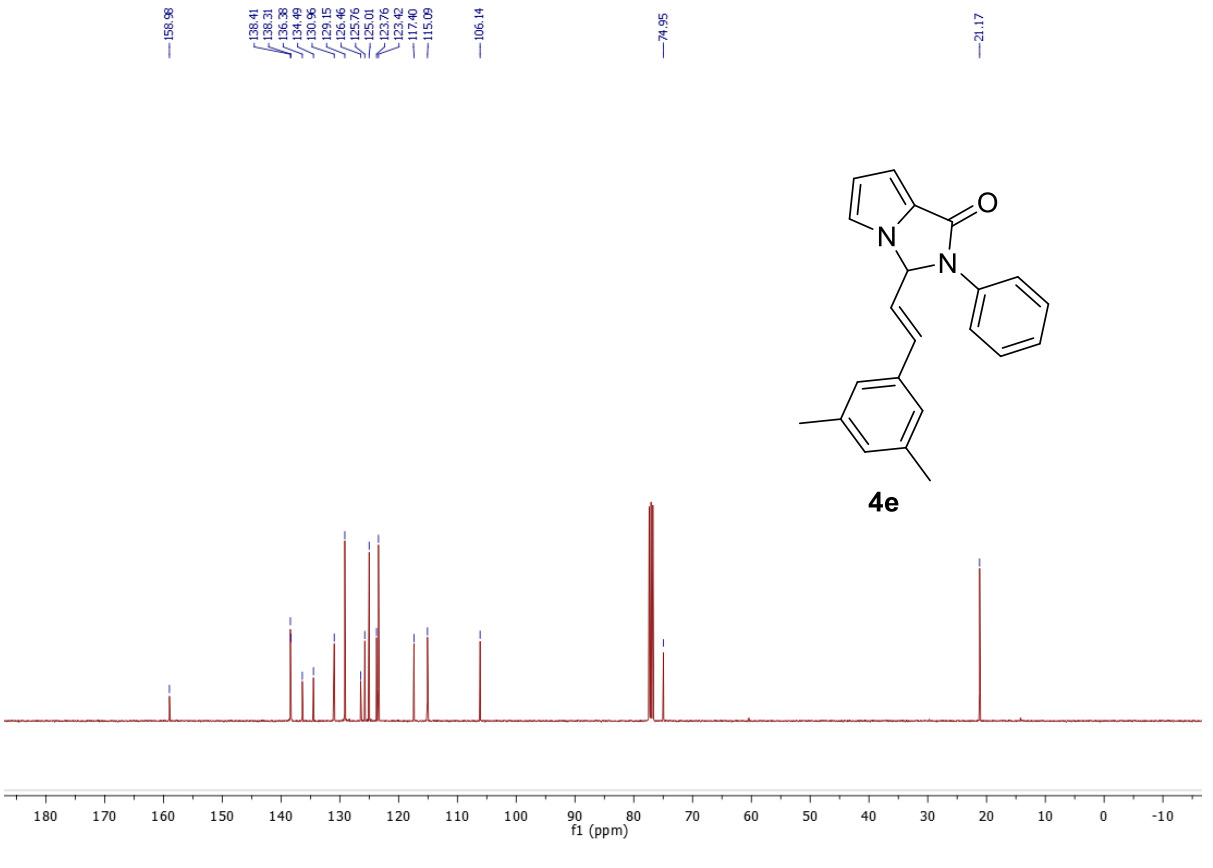




^{1}H and ^{13}C spectra of **4e**

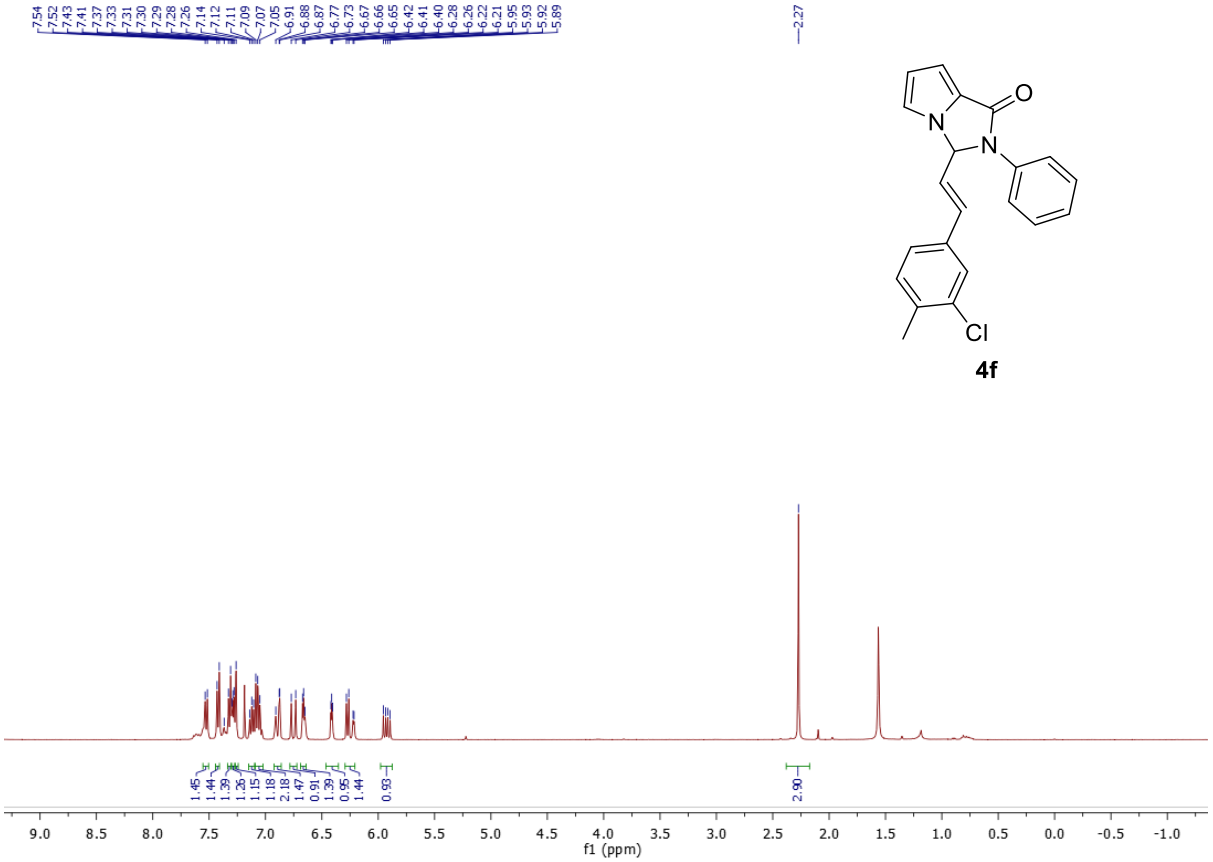


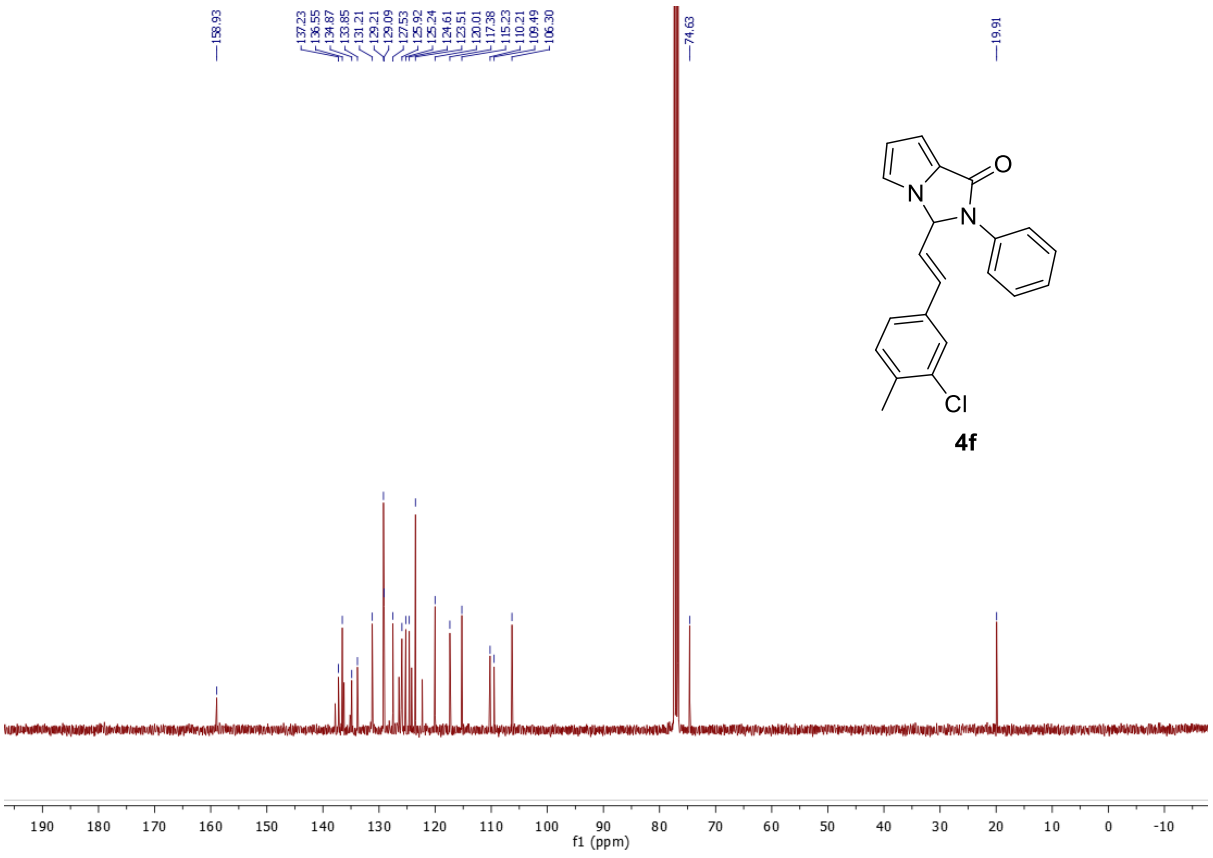
4e



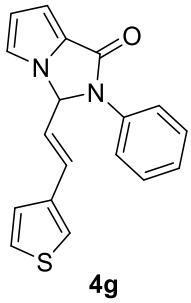
4e

^{1}H and ^{13}C spectra of **4f**

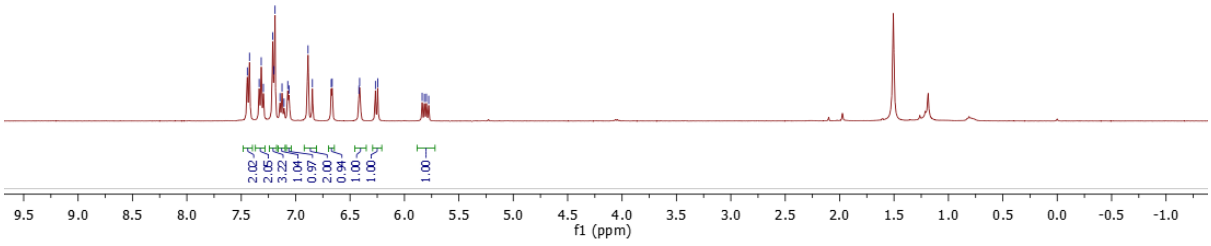


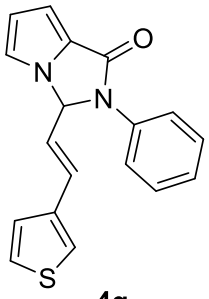


^{1}H and ^{13}C spectra of **4g**

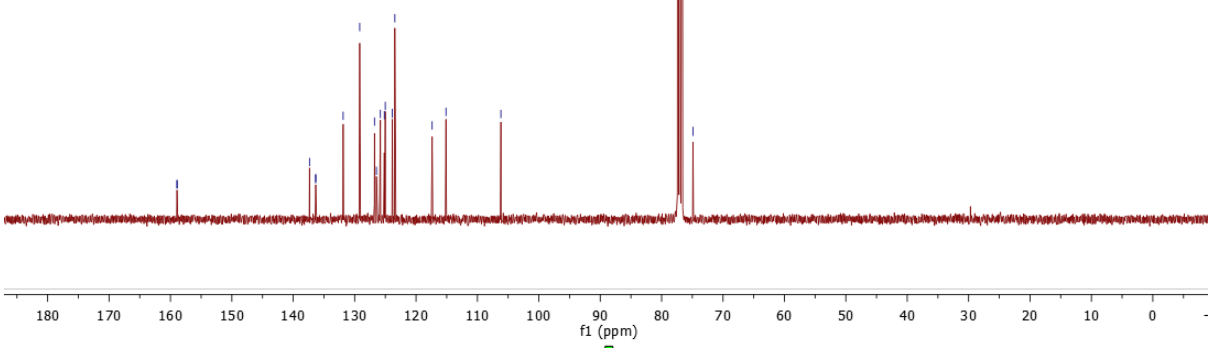


4g

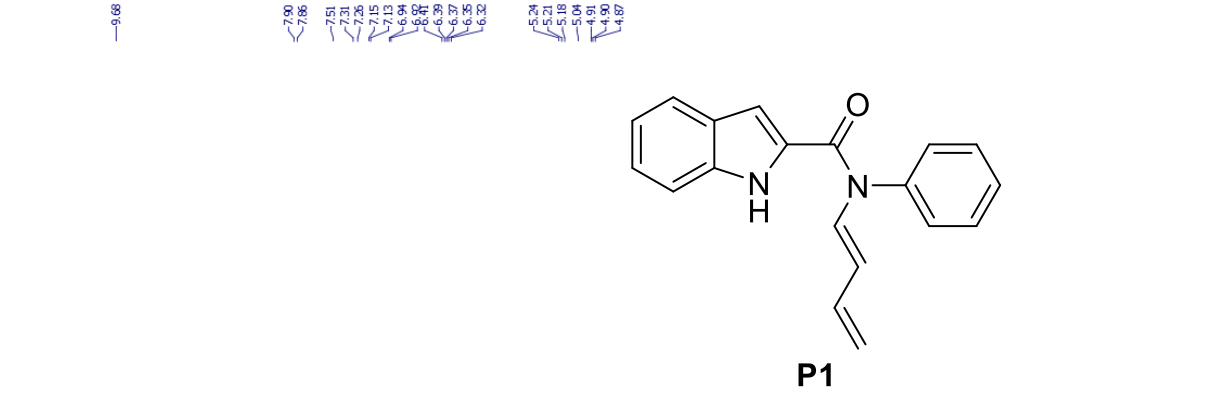




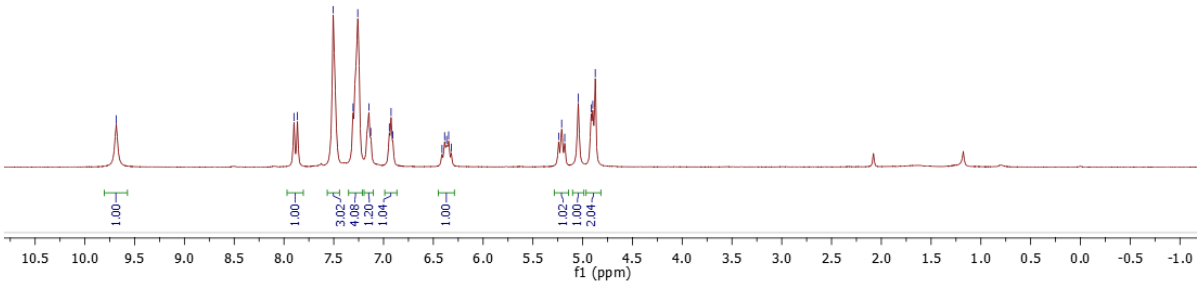
4g

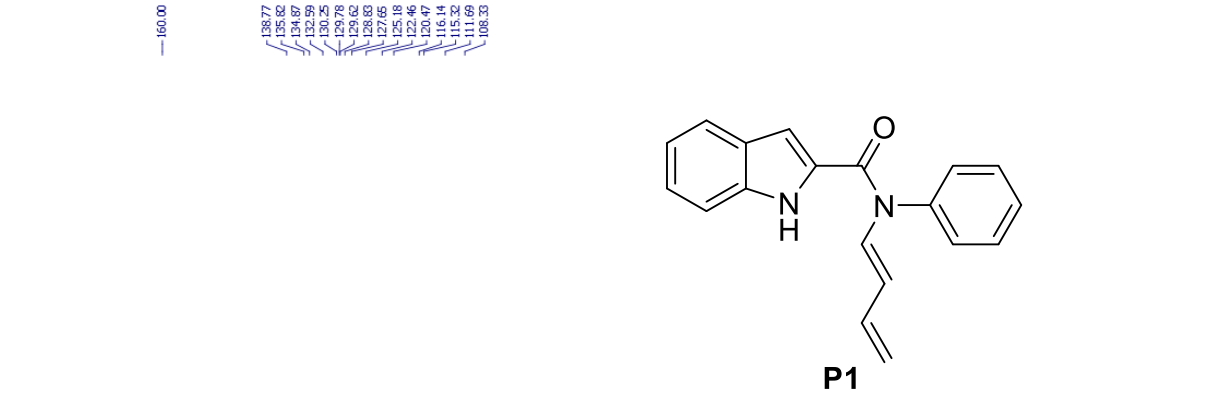


^{1}H and ^{13}C spectra of **P1**

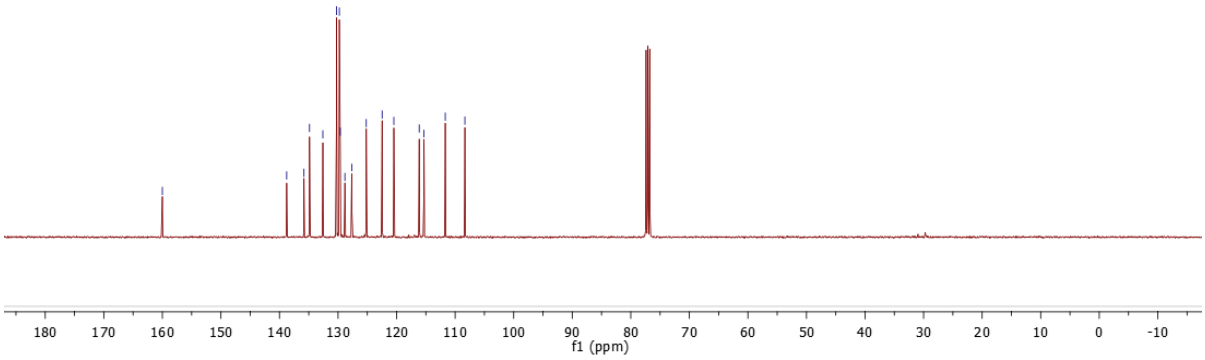


P1

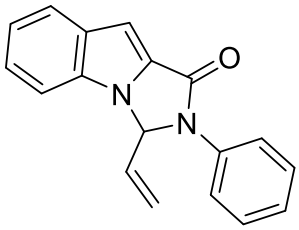




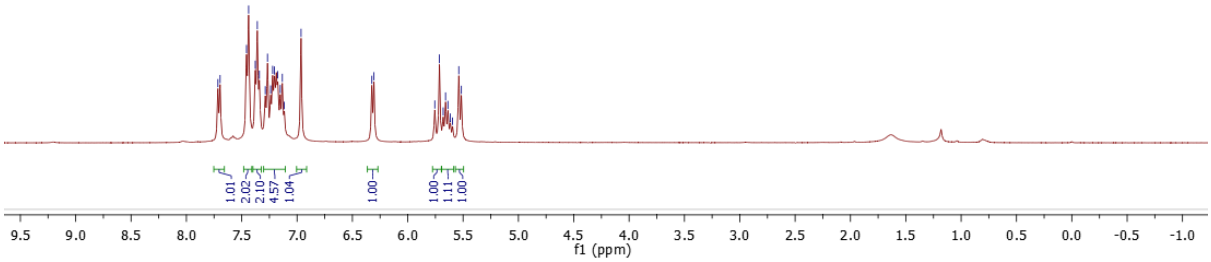
P1

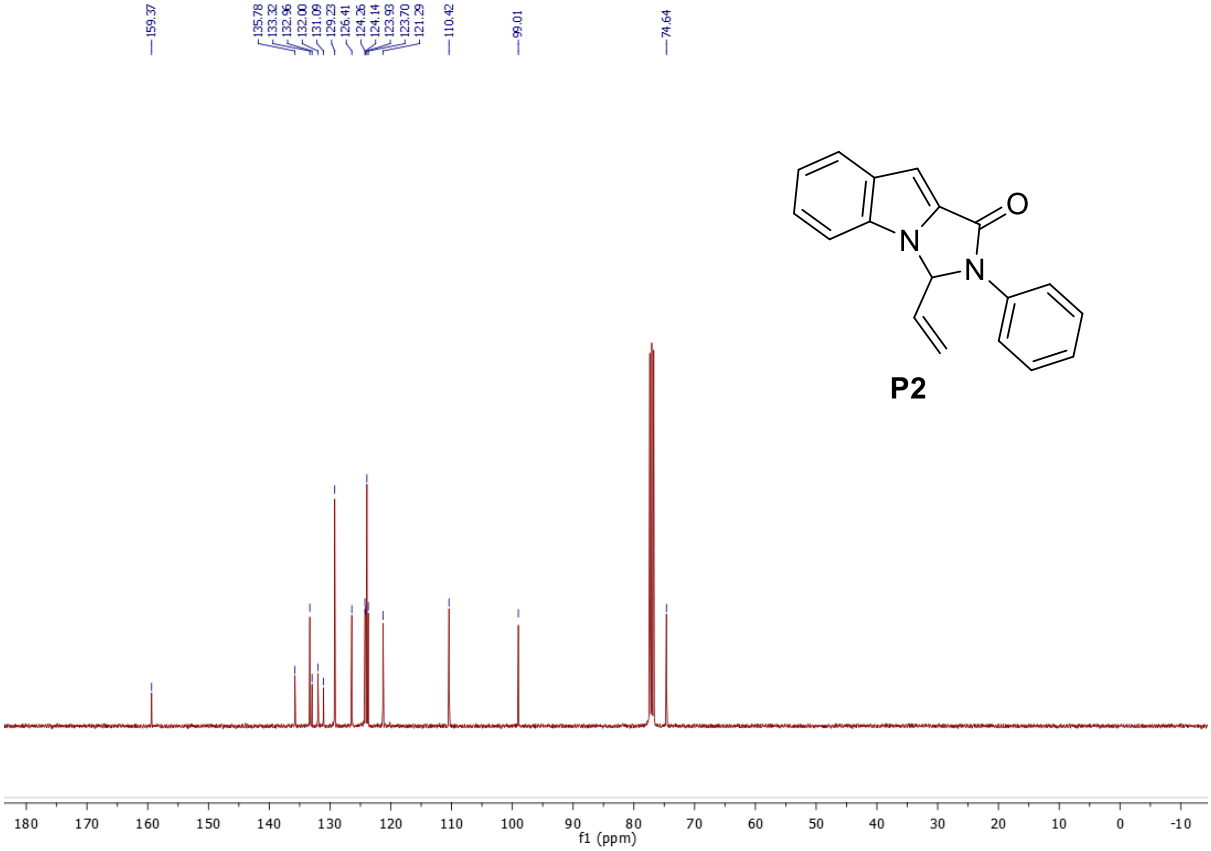


^{1}H and ^{13}C spectra of **P2**



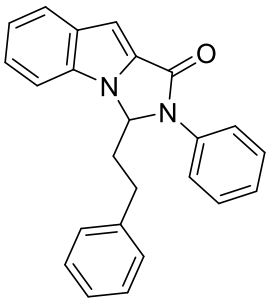
P2



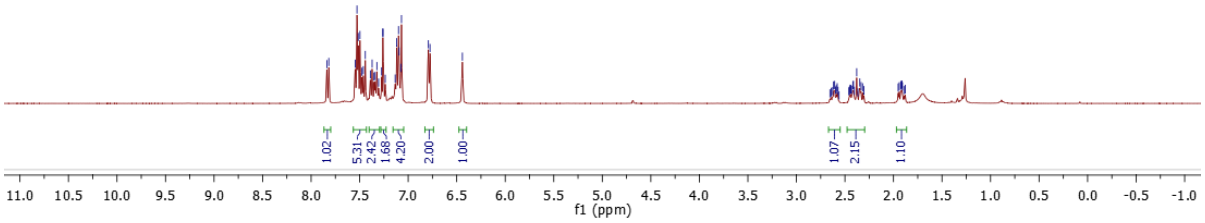


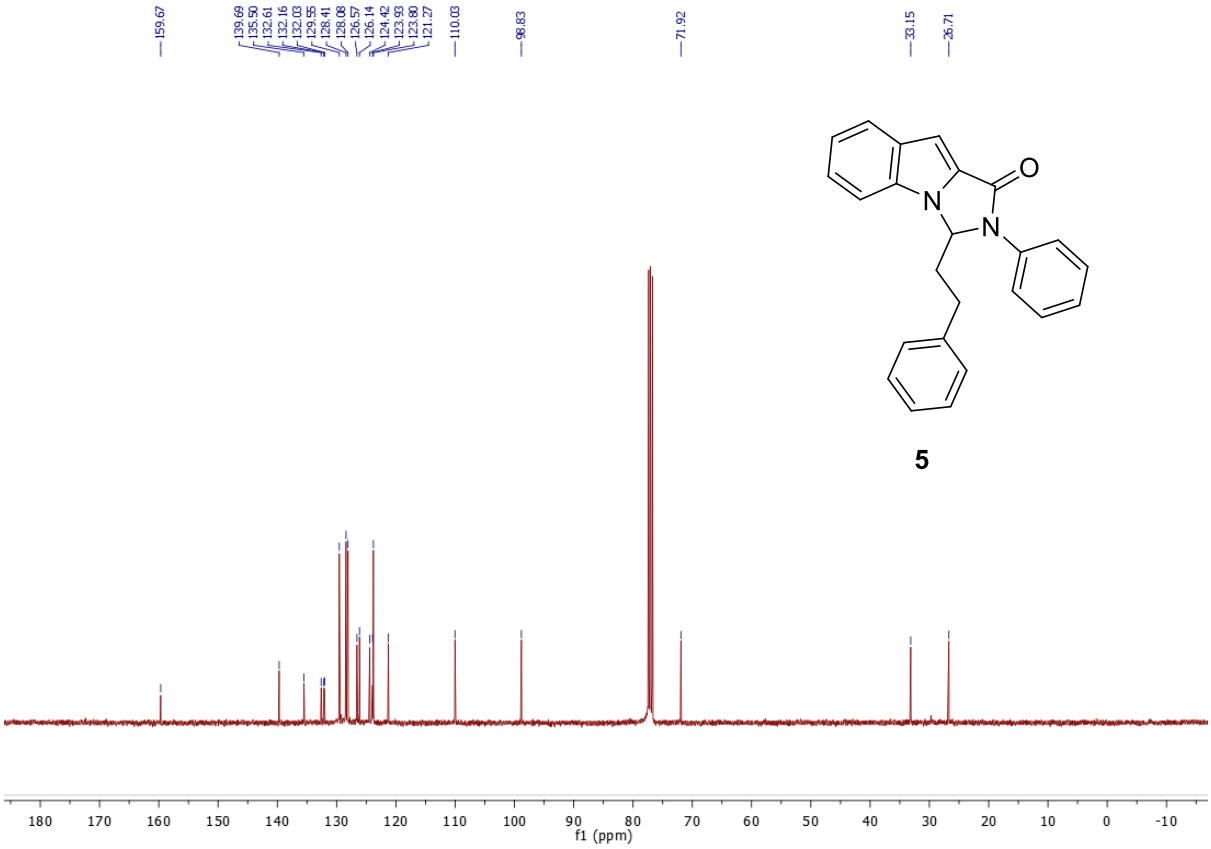
P2

^{1}H and ^{13}C spectra of **5**



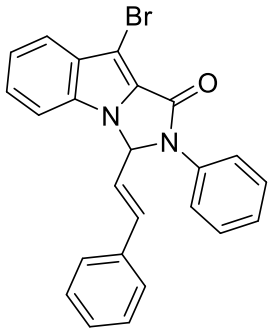
5



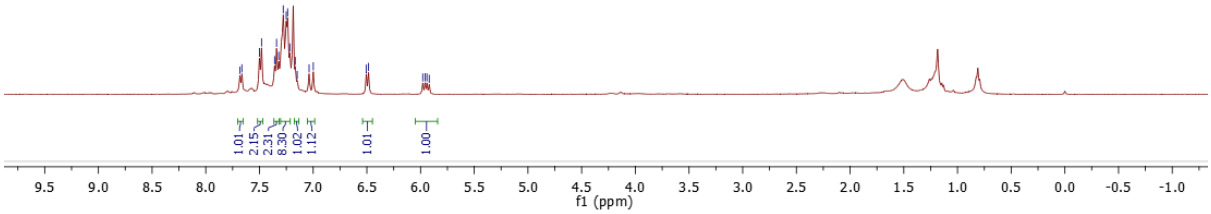


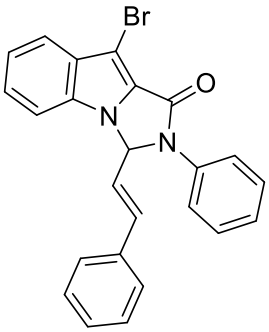
5

^{1}H and ^{13}C spectra of **6**

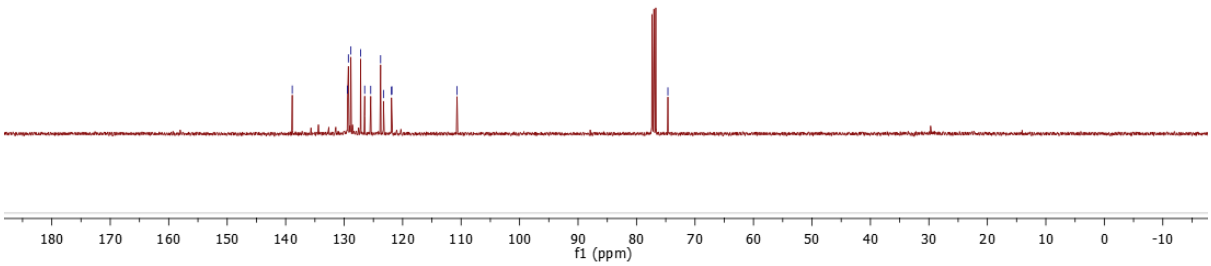


6





6



^{1}H and ^{13}C spectra of **7**