Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting Information

Electrochemistry-controlled dearomative 2,3-difunctionalization of indoles to synthesize oxoindoline derivatives.

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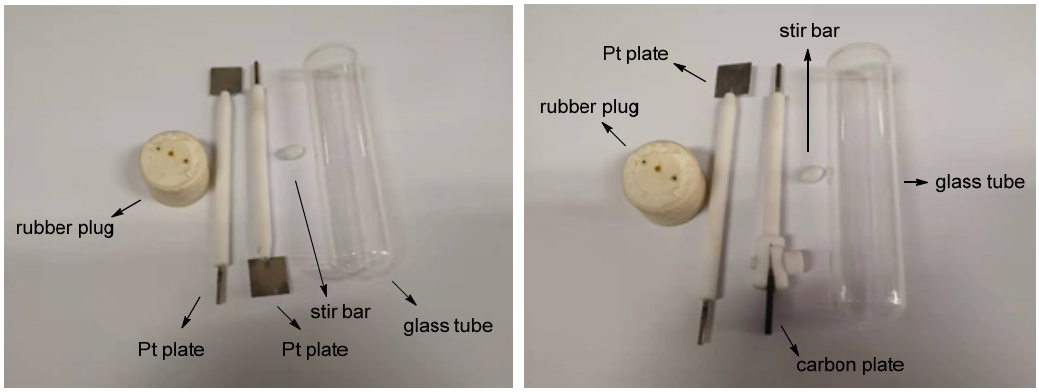
1. Materials and Methods

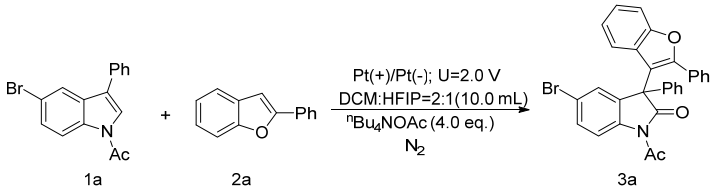
All air- and moisture-insensitive reactions were carried out under an ambient atmosphere, magnetically stirred, monitored by thin layer chromatography (TLC), visualized by fluorescence quenching under UV light. Flash chromatography was performed on silica gel (200-300 mesh). The electrochemistry reactions were carried out under N_2 conditions and at a constant pressure of 2.0V (otherwise noted). Cyclic voltammograms were recorded on a CHI 660E potential station. All air- and moisture-sensitive manipulations were performed using oven-dried glassware. Methylene chloride was dried and distilled by CaH_2 and 1,1,1,3,3,3-hexafluoropropan-2-ol was purchased from BeiJing OuHe Technology Co., LTD. Tetrabutylammonium acetate was purchased from Bidepharm. NMR spectra were recorded on a Bruker Ascend 300 spectrometer operating at 300 MHz for ^{1}H acquisitions, 75 MHz for ^{13}C acquisitions and 282 MHz for ^{19}F acquisitions. Signals are listed in ppm, and multiplicity identified as s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet; coupling constants in Hz; integration. Chemical shifts were referenced to the residual proton solvent peaks (^{1}H: $CDCl_3$, δ 7.26; $(CD_3)_2SO$, δ 2.50), solvent ^{13}C signals ($CDCl_3$, δ 77.16; $(CD_3)_2SO$, δ 39.52)[1]. High-resolution mass spectra were obtained using Agilent LC-UV-TOF mass spectrometer. Concentration under reduced pressure was performed by rotary evaporation at 30–40 ºC at appropriate pressure. Purified compounds were further dried under high vacuum (0.01–0.05 Torr). Yields refer to purified and spectroscopically pure compounds.

2. Information for reaction

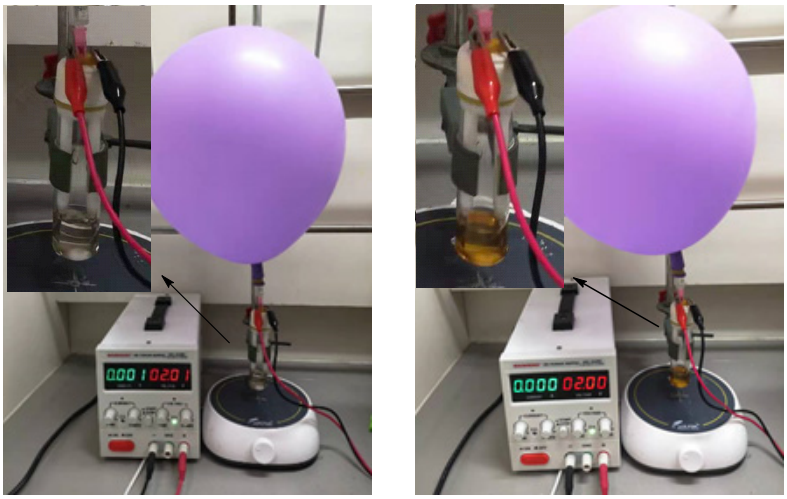
2.1. Small scale reaction devices



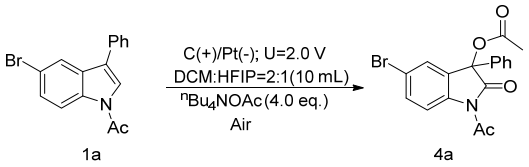
2.1.1. Procedure for the synthesis of 3a (small scale).



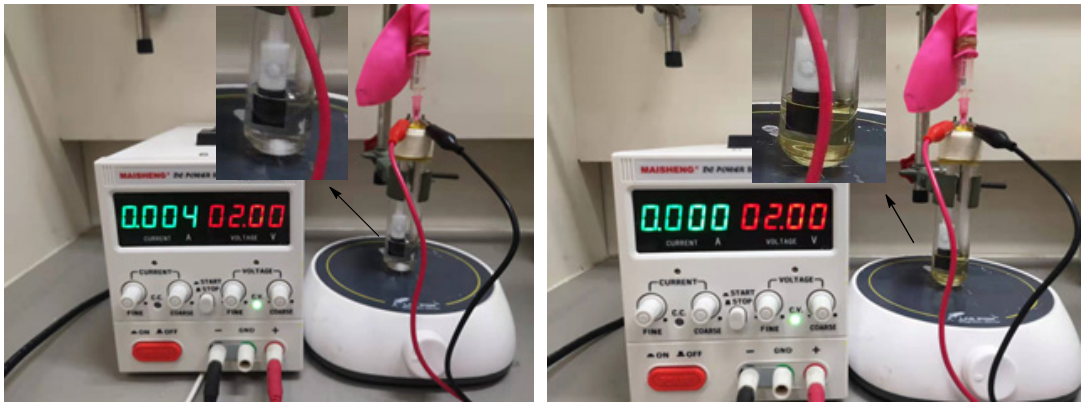
A solution of **1a** (0.25 mmol， 78 mg, 1.0 eq.), **2a** (0.3 mmol, 58 mg, 1.2 eq.) and $^{n}Bu_4NOAc$ (1.0 mmol, 0.3 g, 4.0 eq.) in DCM/HFIP (2/1 v/v, 10.0 mL) was stirred at rt under N_2 atmosphere in an oven-dried undivided test tube which was equipped with platinum plate electrodes (1.5 cm × 1.5 cm × 0.1 mm) as both the anode and cathode. The reaction mixture was stirred and electrolyzed at a controlled cell potential of 2.0 V under room temperature and stopped until complete consumption of **1a** (monitored by TLC). The pure product was obtained by flash column chromatography on silica gel (petroleum: ethyl acetate).



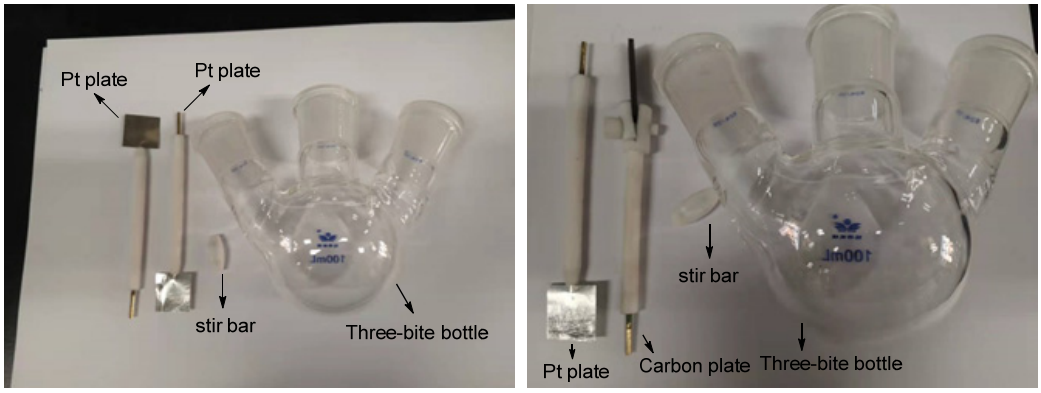
2.1.2. Procedure for the synthesis of 4a (small scale)



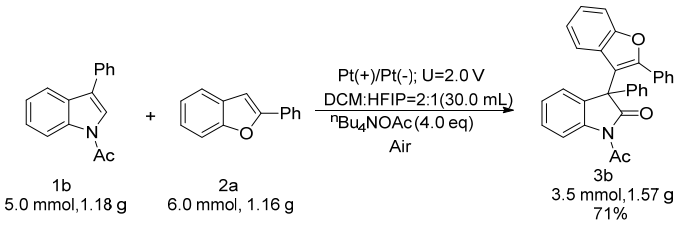
A solution of **1a** (0.25 mmol, 78 mg， 1.0 eq.), $^{n}Bu_4NOAc$ (1.0 mmol, 4.0 eq., 0.3 g) in DCM/HFIP (2: 1; 10.0 mL) was stirred at rt under air in an oven-dried undivided test tube which was equipped with carbon plate (2.0 cm × 1.0 cm × 2.0 mm) as the anode and platinum plate electrodes (1.5 cm × 1.5 cm × 0.1 mm) as the cathode. The reaction mixture was stirred and electrolyzed at a controlled cell potential of 2.0 V under room temperature and stopped until complete consumption of **1a** (monitored by TLC). The residue was purified by column chromatography on silica gel (petroleum ether/ethyl acetate).



2.2. Large scale reaction devices

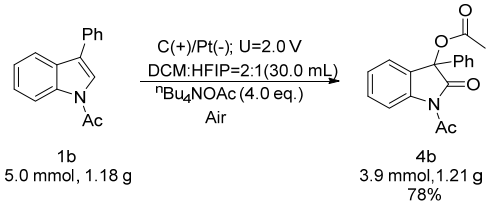


2.2.1. Procedure for Gram Scale Synthesis of 3b (Gram scale)



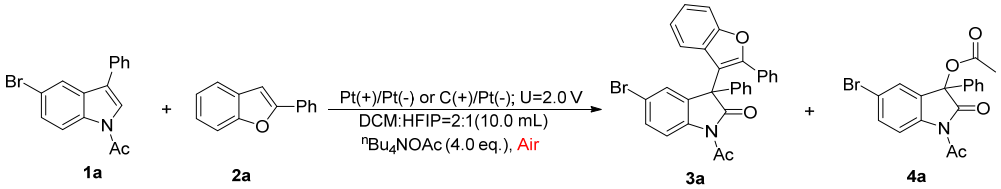
A solution of **1b** (1.18 g, 5.0 mmol), **2a** (1.16 g, 6.0 mmol) and nBu_4NOAc (6.03 g, 4.0 eq) in HFIP/DCM = 1/2 (30.0 mL) was stirred at rt under air atmosphere in a sealed electrolytic cell which was equipped with platinum electrodes (3.0 cm × 3.0 mm × 0.1 mm) as both the anode and cathode. The reaction mixture was stirred and electrolyzed at a constant potential of 2.0 V until the disappearance of **1b** (detected by TLC plate). To the reaction mixture was added water and the reaction mixture was extracted with EtOAc (15.0 mL × 3) and then concentrated in vacuo. The residue was purified by chromatography on silica gel, eluting with Petroleum ether: EtOAc, to afford the pure product **3b** as white solid (1.57 g, 71%).

2.2.2. Procedure for Gram Scale Synthesis of 4b (Gram scale)

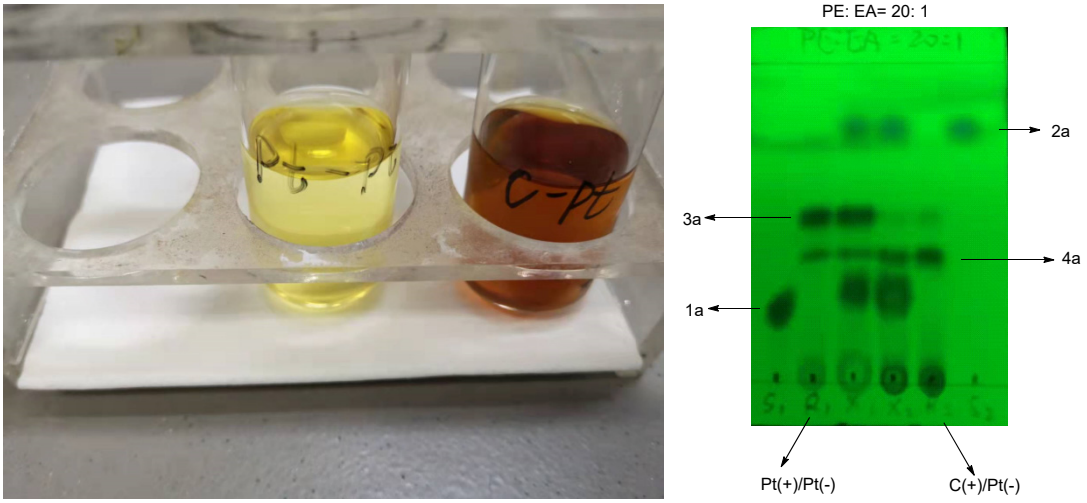


A solution of 1-(3-phenyl-1H-indol-1-yl) ethan-1-one (1.18 g, 5.0 mmol) (**1b**) and nBu_4NOAc (6.03 g, 4.0 eq) in HFIP/DCM = 1/2 (30.0 mL) was stirred at rt under air atmosphere in a sealed electrolytic cell which was equipped with carbon plate (3.0 cm × 1.0 cm × 2 mm) as the anode and platinum plate electrodes (2.0 cm × 2.0 cm × 0.1 mm) as the cathode. The reaction mixture was stirred and electrolyzed at a constant potential of 2.0 V until the disappearance of **1b** (detected by TLC plate). To the reaction mixture was added water and the reaction mixture was extracted with EtOAc (15.0 mL × 3) and then concentrated in vacuo. The residue was purified by chromatography on silica gel, eluting with Petroleum ether: EtOAc, to afford the pure product **4b** as white solid (1.21 g, 78%).

3. Discussion of electrode selectivity results.

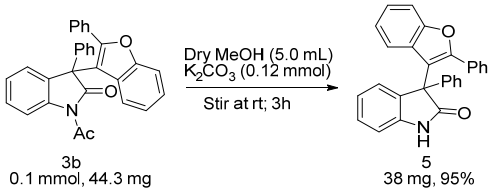


The reactions were run in air. In an oven-dried undivided test tube (25.0 mL) equipped with a stir bar, **1a** (0.25 mmol， 78 mg), **2a** (0.3 mmol, 58 mg), $^{n}Bu_4NOAc$ (4.0 eq., 0.3 g) and DCM/HFIP (2: 1; 10.0 mL) were combined and added. The test tube was equipped with platinum plate electrodes (1.5 cm × 1.5 cm × 0.1 mm) or carbon plate (2.0 cm × 1.0 cm × 2 mm) as the anode and platinum plate electrodes (1.5 cm × 1.5 cm × 0.1 mm) as the cathode. The reaction mixture was electrolyzed at a constant potential of 2.0 V under air and stopped until complete consumption of **1a** (monitored by TLC). The pure product was obtained by flash column chromatography on silica gel (petroleum: ethyl acetate). After the electrolysis, the reaction solution turned to light yellow when Pt-Pt as the electrode, while the reaction solution turned to dark brown when replacing Pt anode with C. A TLC plate was shown in the flowing picture, using S1 for **1a**, R1 for the reaction with Pt-Pt as the electrode, X1 for the mixture of S1, S2 and R1; S2 for **2a**, R2 for the reaction with C-Pt as the electrode, X2 for the mixture of S2, S1 and R2. We can see that the main product was **3a** and a little amount of **4a** was formed for the reaction R1 (**4a** will not form when the reaction was run under N_2 atmosphere). The main product was **4a** and only trace amount of **3a** was formed, in the meantime **2a** was over oxidized and formed a high byproduct with high polarity for reaction R2.



4. Product Transformation

Synthesis of 3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one (5)[2]



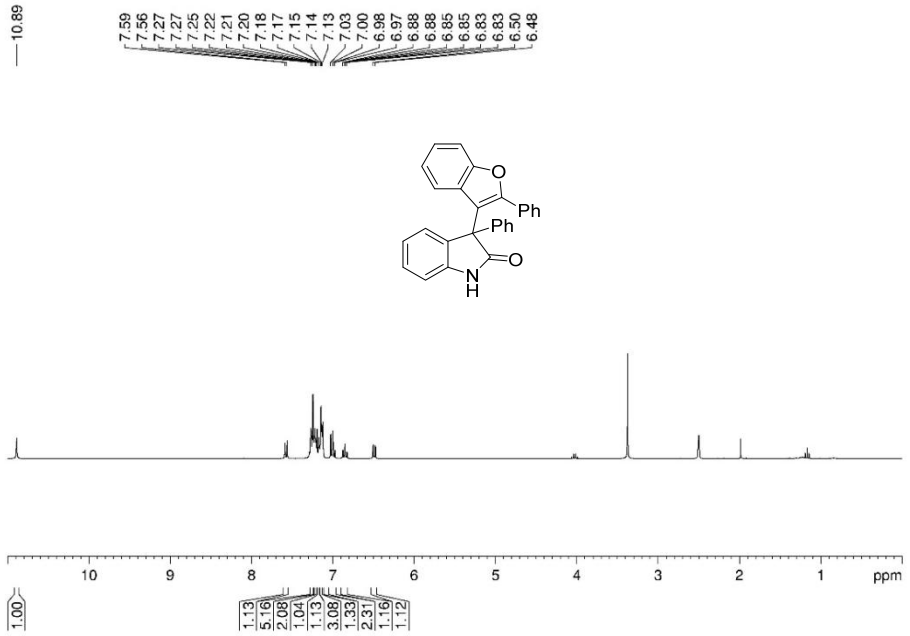
3b
0.1 mmol, 44.3 mg

5
38 mg, 95%

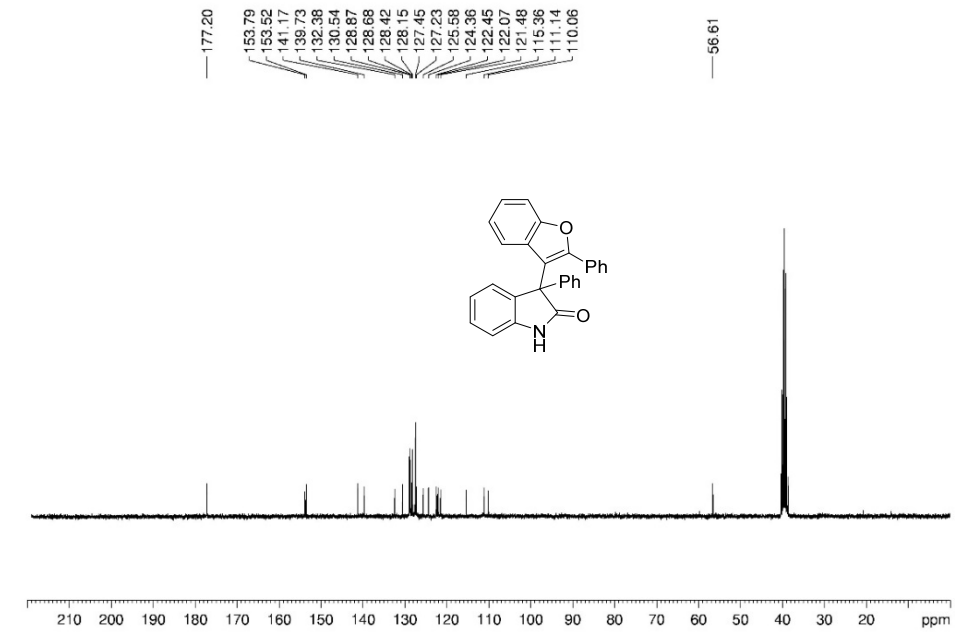
A solution of **3b** (0.1 mmol, 44.3 mg); K_2CO_3 (0.12 mmol, 17 mg) in 5.0 mL dry MeOH was stirred for 3h at rt. The reaction was quenched by saturated saline water (15.0 mL) and extracted with DCM (3 × 10.0 mL). The combined organic layer was

dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by column chromatography on silica (petroleum ether/EtOAc) to afford the product **5** (38 mg, 95%) as a white solid. NMR Spectroscopy: ^{1}H NMR (300 MHz, DMSO, 25 ºC, δ): 10.89 (s, 1H), 7.58 (d, *J* = 8.19 Hz, 1H), 7.27 (t, *J* = 1.59 Hz, 5H), 7.22 (d, *J* = 3.57 Hz, 2H), 7.20 (s, 1H), 7.18 (d, *J* = 0.90 Hz, 1H), 7.15 (d, *J* = 3.18 Hz, 3H), 7.13 (s, 1H), 7.03-6.97 (q, *J* = 7.35 Hz, 2H), 6.88-6.83 (s, 1H), 6.49 (d, *J* = 7.71 Hz, 1H) . ^{13}C NMR (75 MHz, DMSO, 25 ºC, δ): 177.20, 153.79, 153.52, 141.17, 139.73, 132.38, 130.54, 128.87, 128.68, 128.42, 128.15, 127.45, 127.23, 125.58, 124.36, 122.45, 122.07, 121.48, 115.36, 111.14, 110.06, 56.61. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{28}H_{19}NNaO_2^+$ ([M +Na]$^+$), 424.1308, found, 424.1316.

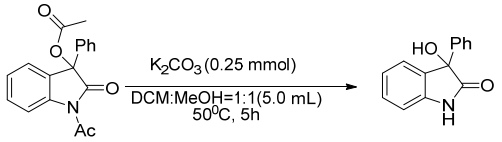
^{1}H NMR (DMSO, 25 ºC) of **5**



^{13}C NMR (DMSO, 25 ºC) of **5**



Synthesis of 3-hydroxy-3-phenylindolin-2-one (6) [3]

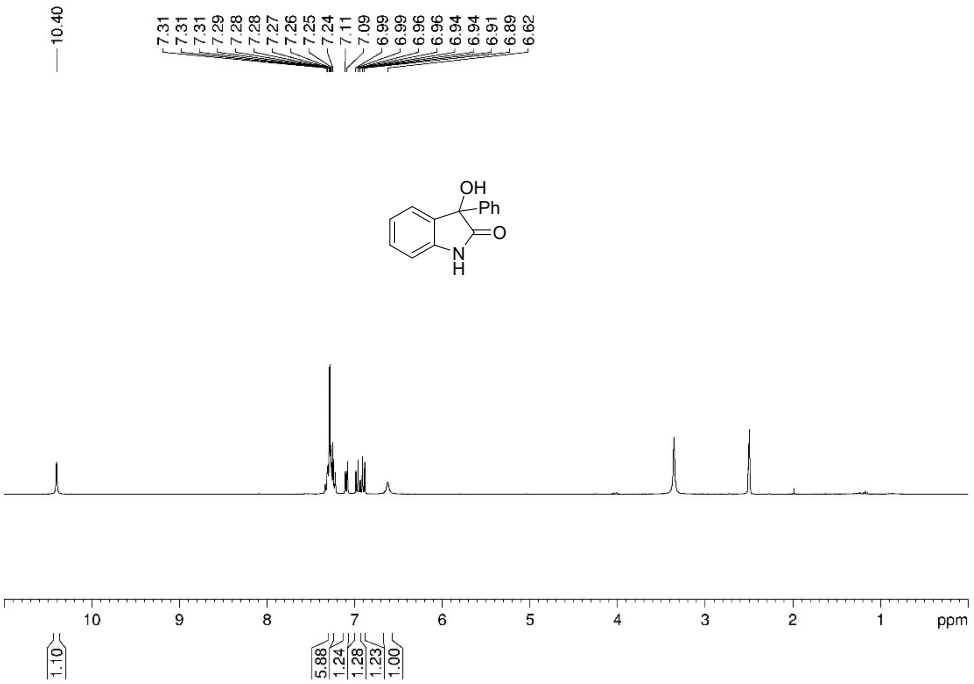


4b
0.1 mmol, 31 mg

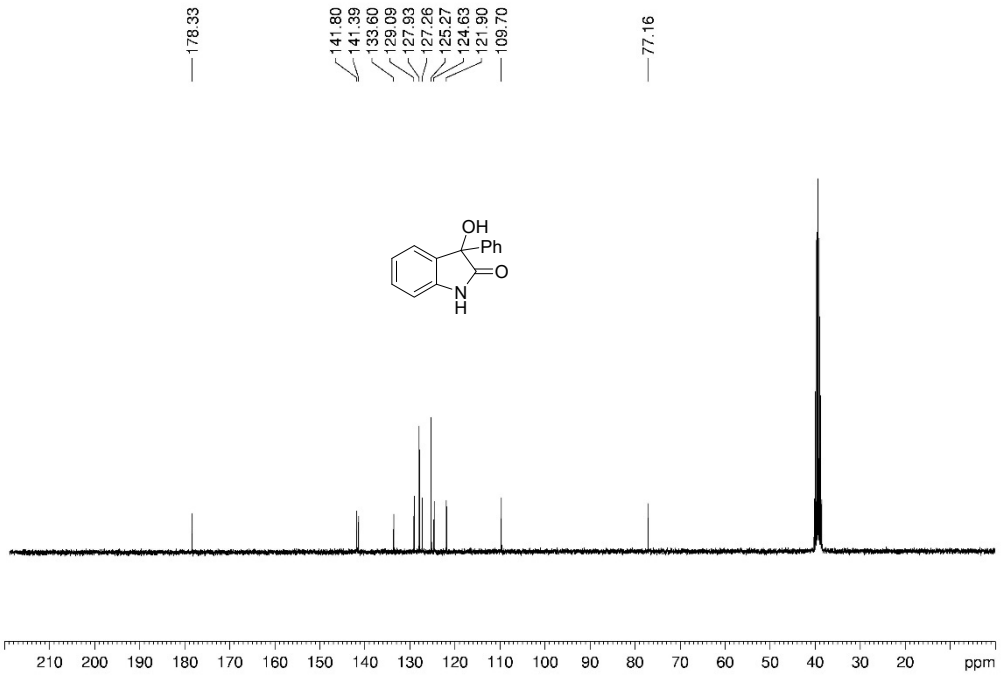
6
18.5 mg, 82%

A solution of **4b** (0.1 mmol, 31mg) and K_2CO_3 (0.25 mmol, 35 mg) in 5.0 mL of a 1:1 DCM/MeOH was stirred for 5h at 50ºC. Then evaporated to remove the MeOH and extracted with EtOAc (3 × 10.0 mL). The combined organic layer was dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by column chromatography on silica (petroleum ether/EtOAc) to afford the product **6** (18.5 mg, 82%) as a white solid. NMR Spectroscopy: ^{1}H NMR (300 MHz, DMSO, 25 ºC, δ): 10.40 (s, 1H), 7.31-7.24 (m, 6H), 7.10 (d, *J* = 6.81 Hz, 1H), 6.99-6.94 (m, 1H), 6.90 (d, *J* = 7.71 Hz, 1H), 6.62 (s, 1H). ^{13}C NMR (75 MHz, DMSO, 25 ºC, δ): 178.33, 141.80, 141.39, 133.60, 129.09, 127.93, 127.26, 125.27, 124.63, 121.90, 109.70, 77.16. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{14}H_{11}NNaO_2^+$ ([M +Na]$^+$), 248.0682, found, 248.0690.

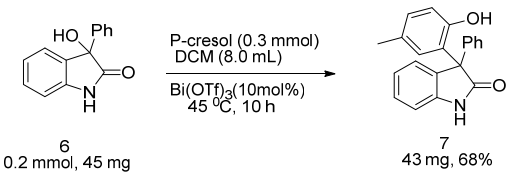
^{1}H NMR (DMSO, 25 ºC) of **6**



^{13}C NMR (DMSO, 25 ºC) of **6**



Synthesis of 3-(2-hydroxy-5-methylphenyl)-3-phenylindolin-2-one (7) [4]

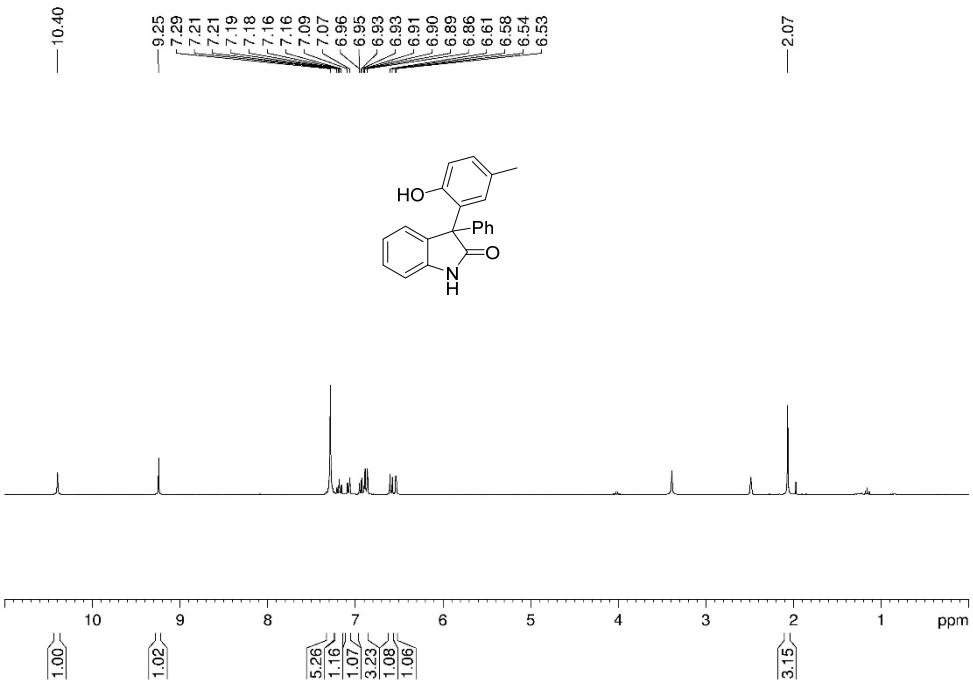


6
0.2 mmol, 45 mg

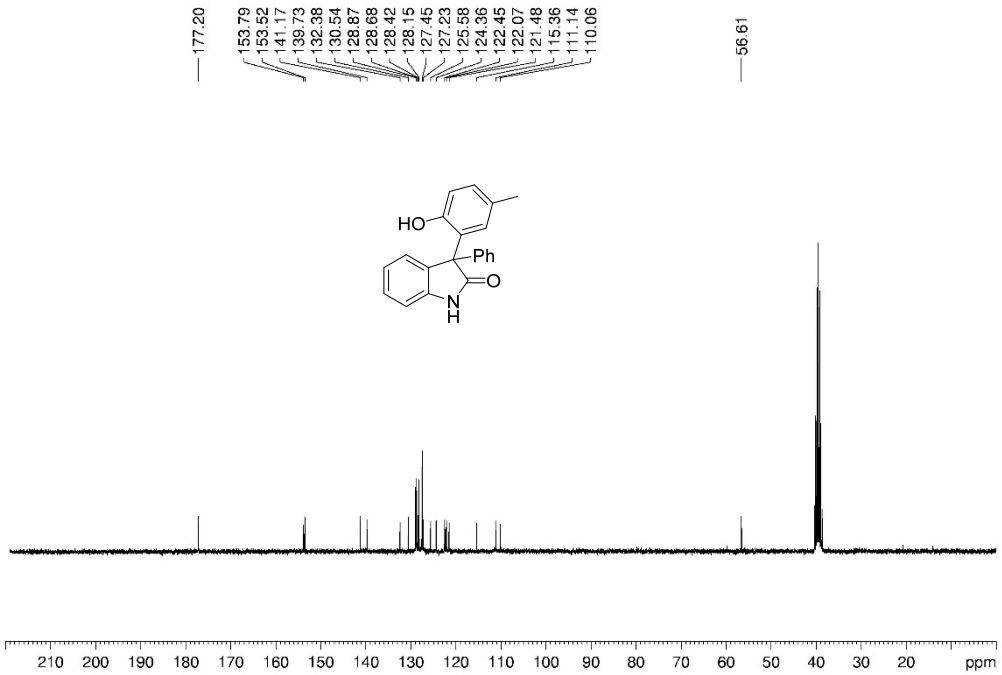
7
43 mg, 68%

A solution of **6** (0.2 mmol, 45 mg); P-cresol (0.3 mmol, 33 mg) and $Bi(OTf)_3$ (10mol%) in 8.0 mL dry DCM was stirred for 10h at 45ºC. The reaction was quenched by saturated saline water (15.0 mL) and extracted with DCM (3×10.0 mL). The combined organic layer was dried over Na_2SO_4, and concentrated under reduced pressure. The residue was purified by column chromatography on silica (petroleum ether/EtOAc) to afford the product **7** (43 mg, 68%) as a white solid. NMR Spectroscopy: ^{1}H NMR (300 MHz, DMSO, 25 ºC, δ): 10.40 (s, 1H), 9.25 (s, 1H), 7.29 (s, 5H), 7.21-7.16 (m, 1H), 7.08 (d, J = 7.14 Hz, 1H), 6.96-6.86 (m, 3H), 6.60 (d, J = 8.07 Hz, 1H), 6.54 (d, J = 1.41 Hz, 1H), 2.07 (s, 3H). ^{13}C NMR (75 MHz, DMSO, 25 ºC, δ): 179.45, 153.01, 142.41, 139.62, 132.88, 130.12, 128.84, 128.61, 128.35, 128.01, 127.78, 127.14, 126.77, 125.63, 121.15, 115.67, 109.33, 59.92, 20.41.

^{1}H NMR (DMSO, 25 ºC) of **7**

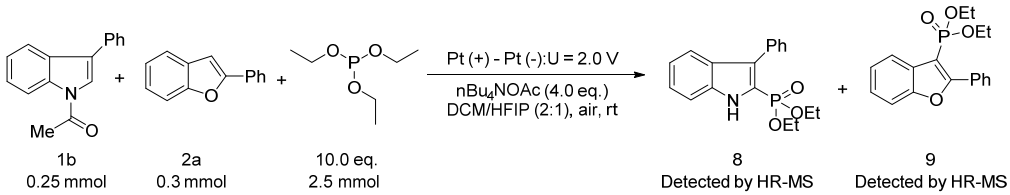


^{13}C NMR (DMSO, 25 ºC) of **7**



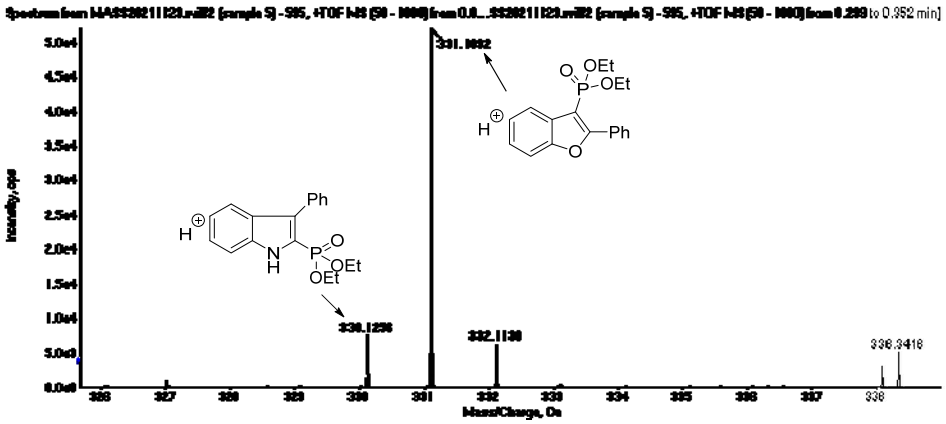
5. Mechanistic studies

5.1.Trapping of the radical intermediate



1b	2a	10.0 eq.	8	9
0.25 mmol	0.3 mmol	2.5 mmol	Detected by HR-MS	Detected by HR-MS

A solution of **1b** (59 mg, 0.25 mmol), **2a** (58 mg, 0.3 mmol), triethyl phosphite (410 mg, 2.5 mmol) and nBu_4NOAc (0.3 g, 4.0 eq.) in HFIP/DCM = 1/2 (10.0 mL) was stirred at rt under air atmosphere in a sealed electrolytic cell which was equipped with platinum electrodes (1.5 cm×1.5 cm×0.1 mm) as both the anode and cathode. The reaction mixture was stirred and electrolyzed at a constant potential of 2.0 V until the disappearance of 1-(3-phenyl-1H-indol-1-yl)ethan-1-one (detected by TLC plate). The reaction mixture was directly detected by HR-MS, HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{21}NO_3P^+$ ([M +H]$^+$), 330.1254, found, 330.1256; HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{20}O_4P^+$ ([M +H]$^+$), 331.1094, found, 331.1092.



5.2. Cyclic voltametry studies

Cyclic voltametry studies: Cyclic voltammograms were recorded on a CHI 660E potentiostat. The cyclic voltammograms of compounds 1-(5-bromo-3-phenyl-1H-indol-1-yl)ethan-1-one **(1a),** 1-(3-phenyl-1H-indol-1-yl)ethan-1-one **(1b),** 2-phenylbenzofuran (**2a**), 1-acetyl-3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one **(3b)**, 1-acetyl-2-oxo-3-phenylindolin-3-yl acetate **(4b)** were recorded in an electrolyte of *n*Bu_4NOAc (4.0 eq., 0.3 g) in HFIP/DCM (1:2) using a Pt or C working electrode (diameter, 2 mm), a Pt wire auxiliary electrode and a SCE reference electrode (Figure S). The scan rate is 100 mV/s. (T = 20 °C, c = 0.001M).

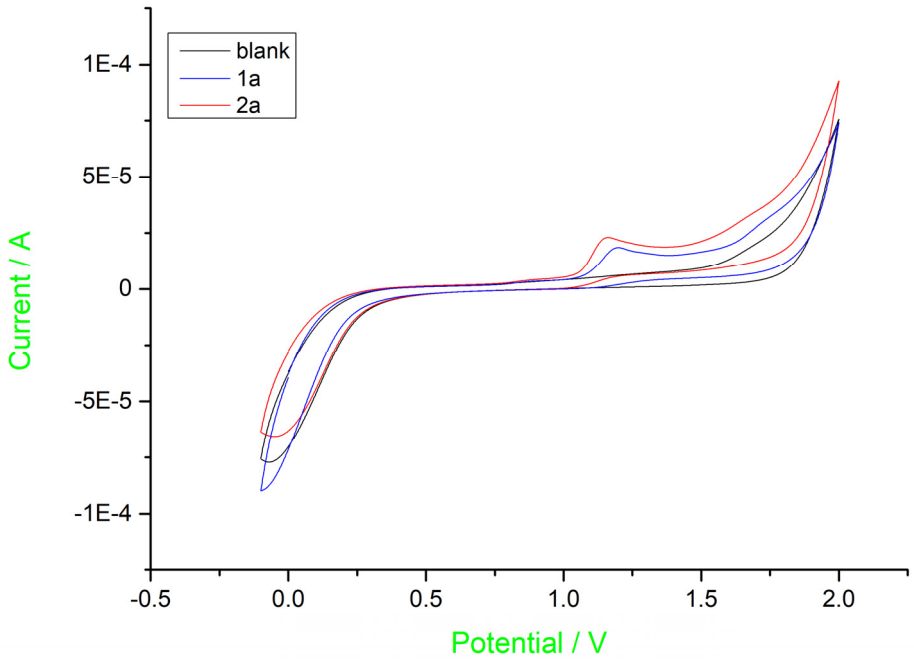


Figure S1 CV of compounds **1a, 2a** under Pt-Pt as electrode

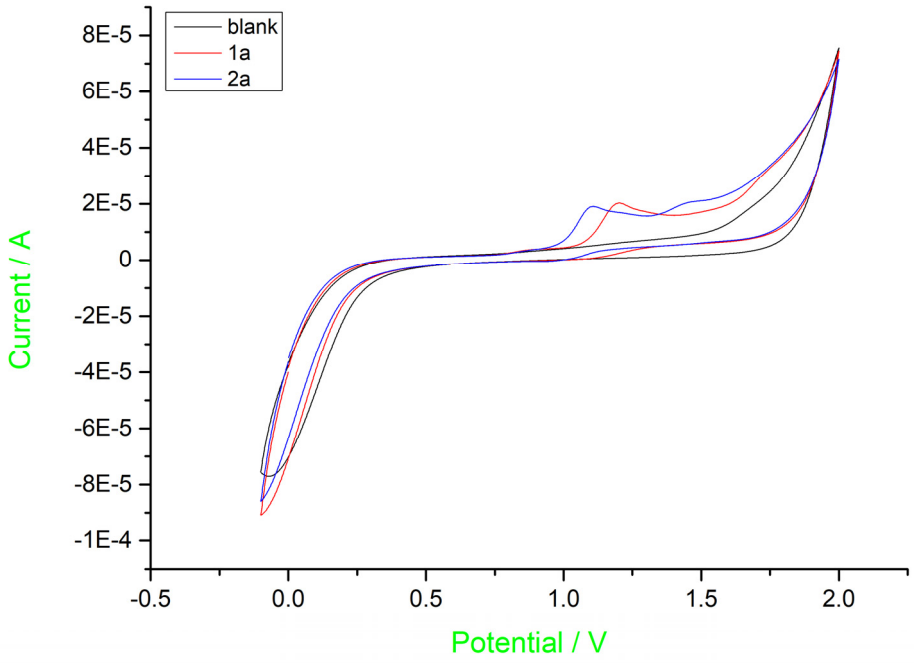


Figure S2 CV of compounds **1a, 2a** under Pt-C as electrode

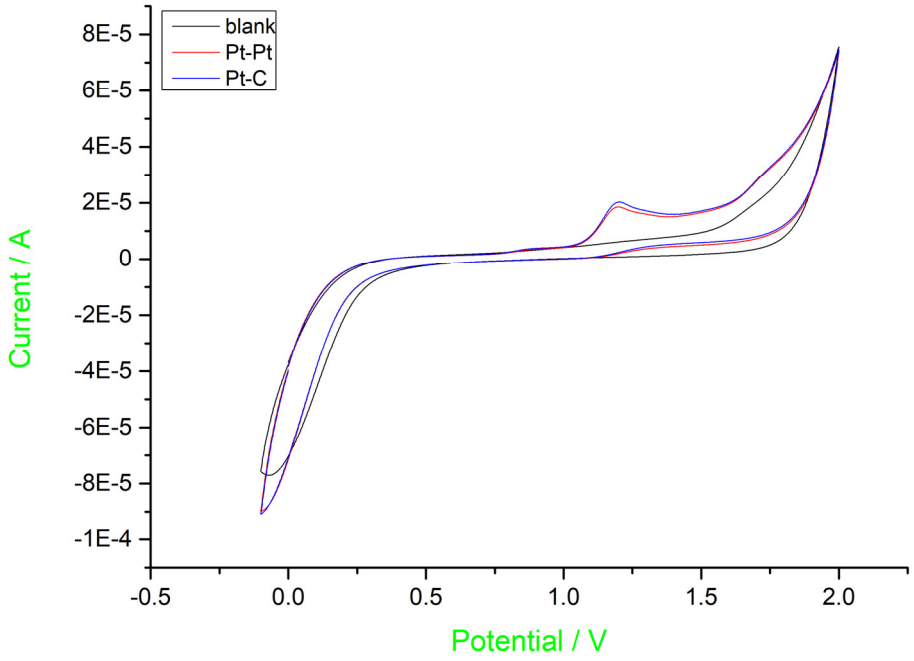


Figure S3 CV of compounds **1a** under Pt-Pt or Pt-C as electrode

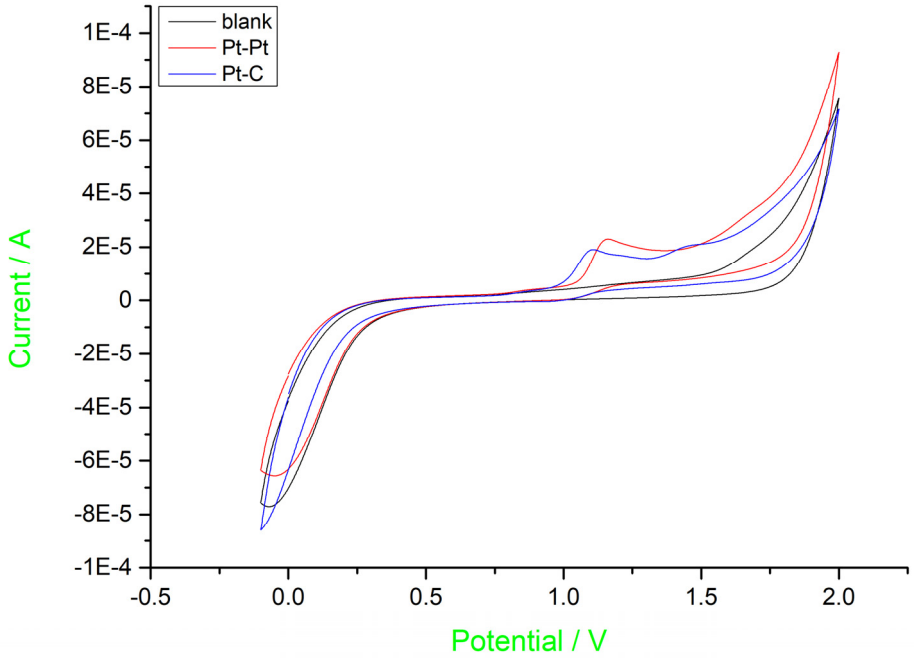


Figure S4 CV of compounds **2a** under Pt-Pt or Pt-C as electrode

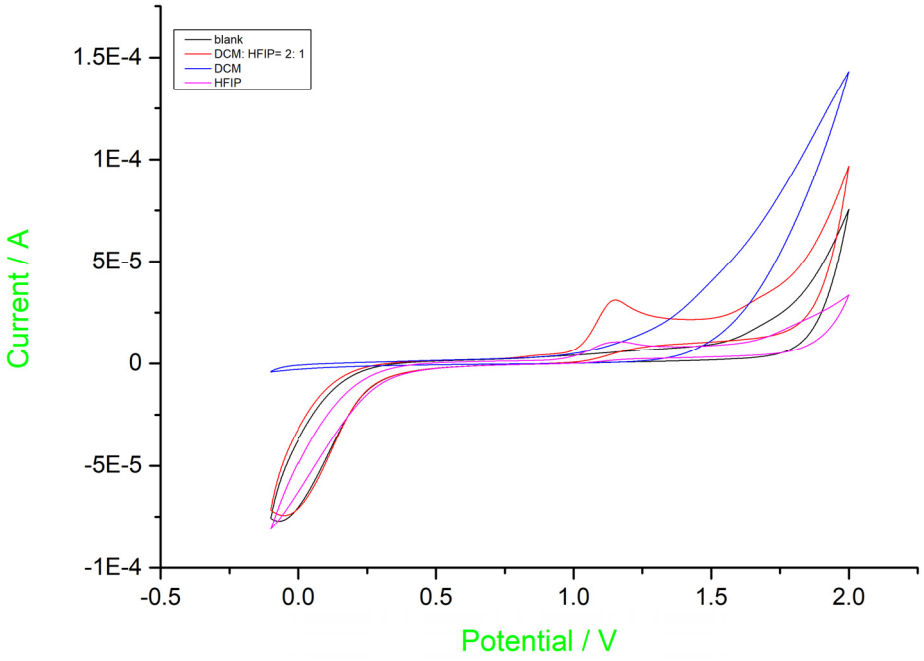


Figure S5 CV of compounds **1b** under Pt-Pt as electrode with different solvent

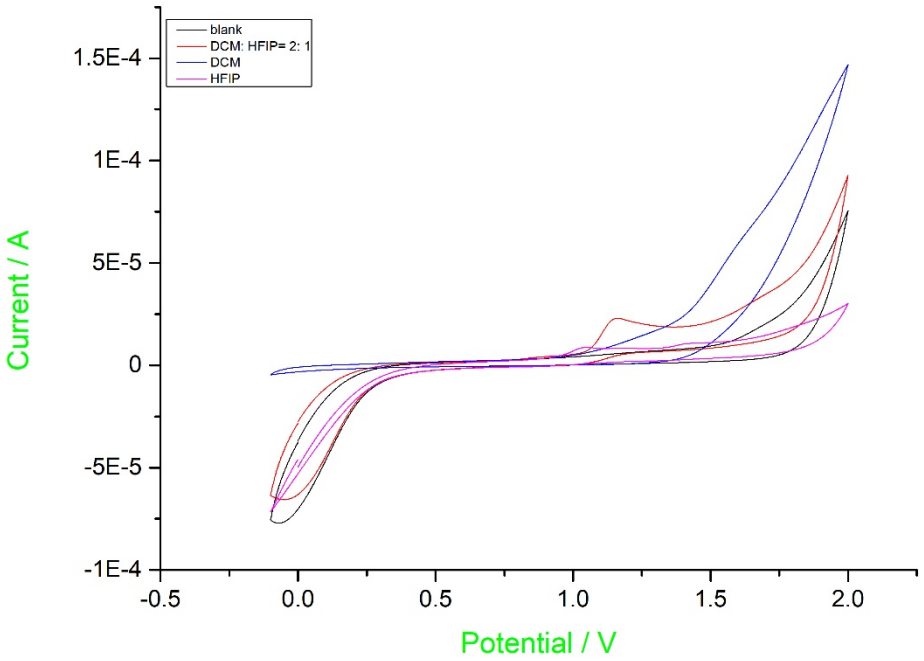


Figure S6 CV of compounds **2a** under Pt-Pt as electrode with different solvent

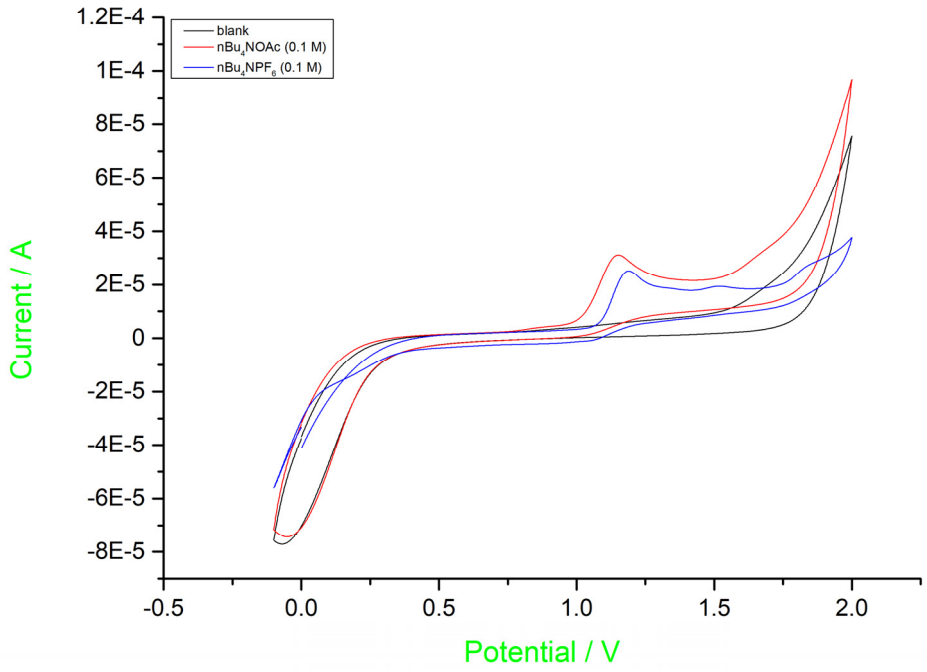


Figure S7 CV of compounds **1b** under Pt-Pt as electrode with different electrolyte

6. Referrences

1) Fulmer, G. R.; Miller, A. J. M.; Sherden, N. H.; Gottlieb, H. E.; Nudelman, A.; Stoltz, B. M.; Bercaw, J. E.; Goldberg, K. I. NMR chemical shifts of trace impurities: common laboratory solvents, organics, and gases in deuterated solvents relevant to the organometallic chemist. *Organometallics.* **2010**, *29*, 2176–2179.

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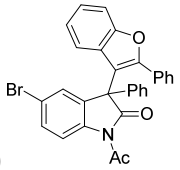
4) Santanu Ghosh, Lakshmana K. Kinthada , Subhajit Bhunia and Alakesh Bisai. Lewis acid-catalyzed Friedel–Crafts alkylations of 3-hydroxy-2-oxindole: an efficient approach to the core structure of azonazine. *Chem. Commun.*. **2012**, *48,* 10132-10134.

7. Characterization of Products

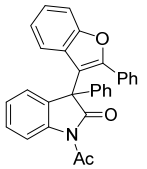
1-Acetyl-5-bromo-3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one



(3a)

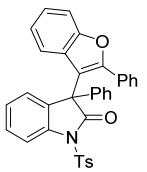
White solid (104 mg, 80 % yield); R_f = 0.44 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 194-196 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.34 (d, *J* = 8.73 Hz, 1H), 7.62-7.59 (dd, *J* = 1.98 Hz,1H), 7.53 (t, *J* = 8.64 Hz, 2H), 7.42 (d, *J* = 7.29 Hz, 1H), 7.37-7.28 (q, *J* = 7.68 Hz, 8H), 7.16 (d, *J* = 7.02 Hz, 2H), 7.07 (t, *J* = 7.50 Hz, 1H), 6.58 (t, *J* = 7.92 Hz, 1H), 2.18 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 175.55, 170.97, 154.32, 153.77, 138.16, 138.10, 133.64, 132.17, 130.96, 129.61, 129.18, 128.65, 128.48, 128.42, 128.02, 127.90, 124.51, 122.79, 121.64, 118.66, 118.64, 116.20, 111.44, 56.41, 26.29. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}BrNNaO_3^+$ ([M + Na]$^+$), 544.0519, found, 544.0513; 546.0498, found, 546.0495.

1-Acetyl-3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one (3b)



White solid (104 mg, 94 % yield); R_f = 0.41 (petroleum ether/ethyl acetate = 20 : 1 (v/v)). M.P. 201-205 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.45 (d, *J* = 8.25 Hz, 1H), 7.56-7.48 (q, *J* = 8.16 Hz, 3H), 7.43-7.26 (m, 10H) , 7.19 (d, *J* = 6.99 Hz, 2H), 7.06 (t, *J* = 7.83 Hz, 1H), 6.61 (d, *J* = 7.92 Hz, 1H), 2.18 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.37, 171.30, 154.46, 153.63, 139.23, 138.95, 131.77, 131.28, 129.59, 129.37, 129.25, 128.60, 128.38, 128.10, 125.82, 125.60, 124.46, 122.71, 121.84, 117.18, 117.11, 111.50, 56.60, 26.49. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{21}NNaO_3^+$ ([M + Na]$^+$), 466.1414, found, 466.1423. A crystal structure of **3b** was obtained. The CCDC number is 2132435.

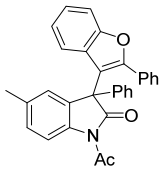
3-Phenyl-3-(2-phenylbenzofuran-3-yl)-1-tosylindolin-2-one (3c)



White solid (126 mg, 91 % yield); R_f = 0.40 (petroleum ether/ethyl acetate = 20 : 1 (v/v)). M.P. 193-195 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.07 (d, *J* = 8.19 Hz, 1H), 7.92 (m, 2H), 7.47 (d, *J* = 8.22 Hz, 1H), 7.40-7.34 (m, 1H),

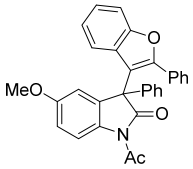
7.24 (d, *J* = 8.43 Hz, 3H), 7.19-7.13 (m, 3H), 7.10-7.00 (m, 9H), 6.88-6.83 (m, 1H), 6.30 (d, *J* = 7.86 Hz, 1H), 2.42 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 174.79, 154.83, 154.20, 145.66, 138.56, 138.18, 134.85, 131.29, 130.71, 129.81, 129.26, 128.85, 128.81, 128.48, 127.90, 127.89, 127.74, 126.25, 125.28, 124.36, 122.46, 121.60, 114.45, 114.11, 111.21, 57.39, 21.77. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{35}H_{26}NO_4S^+$ ([M + H]$^+$), 556.1577, found, 556.1579.

1-Acetyl-5-methyl-3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one (3f)



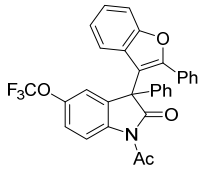
White solid (103 mg, 90 % yield); R_f = 0.39 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 176-179 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.32 (d, *J* = 8.34 Hz, 1H), 7.54 (d, *J* = 8.22 Hz, 1H), 7.42 (d, *J* = 7.26 Hz, 1H), 7.39-7.27 (m, 9H), 7.23 (s, 1H), 7.17 (d, *J* = 6.96 Hz, 2H), 7.06 (t, *J* = 7.56 Hz, 1H), 6.60 (d, *J* = 7.89 Hz, 1H), 2.35 (s, 3H), 2.17 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.57, 171.22, 154.44, 153.68, 139.09, 136.90, 135.60, 131.66, 131.32, 129.80, 129.53, 129.32, 128.57, 128.47, 128.31, 128.07, 126.02, 124.40, 122.68, 122.00, 117.09, 116.96, 111.45, 56.69, 26.44, 21.35. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{24}NO_3^+$ ([M + H]$^+$), 458.1751, found, 458.1757.

1-Acetyl-5-methoxy-3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one (3g)



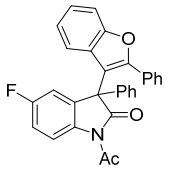
White solid (108 mg, 91 % yield); R_f = 0.62 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 168-171 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.39 (d, *J* = 9.78 Hz, 1H), 7.53 (d, *J* = 8.25 Hz, 1H), 7.40 (d, *J* = 7.14 Hz, 1H), 7.36-7.26 (m, 8H), 7.19 (d, *J* = 6.99 Hz, 2H), 7.07-6.98 (m, 3H), 6.59 (d, *J* = 7.86 Hz, 1H), 3.78 (m, 3H), 2.16 (m, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.47, 171.13, 157.69, 154.58, 153.82, 139.02, 133.27, 132.84, 131.41, 129.66, 129.48, 128.72, 128.47, 128.45, 128.20, 124.58, 122.87, 121.95, 118.30, 117.04, 113.55, 112.10, 111.60, 56.99, 55.75, 26.47. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{24}NO_4^+$ ([M + H]$^+$), 474.1700, found, 474.1705.

1-Acetyl-3-phenyl-3-(2-phenylbenzofuran-3-yl)-5-(trifluoromethoxy)indolin-2-one (3h)



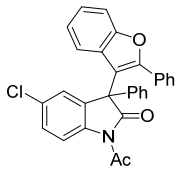
White solid (86 mg, 65 % yield); R_f = 0.58 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 165-166 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.47 (d, *J* = 8.94 Hz, 1H), 7.54 (d, *J* = 8.25 Hz, 1H), 7.41 (d, *J* = 7.26 Hz, 1H), 7.36-7.28 (q, *J* = 7.08 Hz, 10H), 7.14 (t, *J* = 6.99 Hz, 2H), 7.06 (t, *J* = 7.41 Hz, 1H), 6.55 (d, *J* = 7.95 Hz, 1H), 2.19 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 175.81, 171.06, 154.40, 153.87, 146.64, 138.19, 137.53, 133.48, 130.97, 129.70, 129.26, 128.78, 128.59, 128.10, 127.91, 124.63, 122.89, 121.55, 120.39 (q, *J* = 256.41 Hz), 118.59, 118.28, 116.19, 111.54, 56.61, 26.32. ^{19}F NMR (282 MHz, $CDCl_3$, 25 °C, δ): -57.97 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{20}F_3NNaO_4^+$ ($[M + Na]^+$), 520.1237, found, 520.1233.

1-Acetyl-5-fluoro-3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one (3i)



White solid (84 mg, 73 % yield); R_f = 0.27 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 173-176 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.46-8.41 (q, *J* = 4.50 Hz,1H), 7.54 (d, *J* = 8.28 Hz, 1H), 7.42-7.40 (d, *J* = 7.32 Hz, 1H), 7.37-7.28 (m, 8H), 7.16 (d, *J* = 8.04 Hz, 4H), 7.06 (t, *J* = 7.86 Hz, 1H), 6.57 (d, *J* = 7.92 Hz, 1H), 2.16 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 175.92, 171.03, 160.37 (d, *J* = 244.37 Hz), 154.39, 153.78, 138.32, 135.17 (d, *J* = 2.48 Hz), 133.53 (d, *J* = 7.94 Hz), 131.04, 129.65, 129.28, 128.68, 128.52, 128.07, 127.98, 124.57, 122.82, 121.61, 118.61 (d, *J* = 7.82 Hz), 116.39, 115.99, 115.69, 112.89 (d, *J* = 24.39 Hz), 111.52, 56.67, 26.30. ^{19}F NMR (282 MHz, $CDCl_3$, 25 °C, δ): -115.16 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}FNNaO_3$ ($[M + Na]^+$), 484.1319, found, 484.1320.

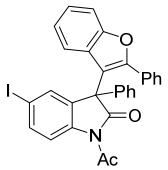
1-Acetyl-5-chloro-3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one (3j)



White solid (84 mg, 70 % yield); R_f = 0.52 (petroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 171-173 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.37 (d, *J* = 8.73 Hz, 1H), 7.52 (d, *J* = 8.25 Hz, 1H), 7.44 (d, *J* = 2.28 Hz, 1H), 7.42-7.40 (q, *J* = 2.25 Hz, 1H), 7.37 (t, *J* = 1.47 Hz, 2H), 7.34 (s, 1H), 7.32-7.29 (m,

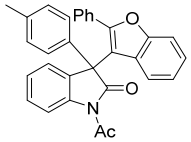
6H), 7.15 (d, *J* = 1.05, 1H), 7.12 (d, *J* = 1.53, 1H), 7.07-7.02 (m, 1H), 6.55 (d, *J* = 7.77, 1H), 2.15 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 175.79, 171.10, 154.48, 153.91, 138.32, 137.76, 133.50, 131.20, 131.12, 129.75, 129.38, 129.35, 128.79, 128.63, 128.16, 128.06, 125.74, 124.66, 122.94, 121.77, 118.44, 116.39, 111.60, 56.62, 26.41. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}ClNNaO_3^+$ ([M + Na]$^+$), 500.1024, found, 500.1028; 501.1057, found, 501.1053.

1-Acetyl-5-iodo-3-phenyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one (3k)



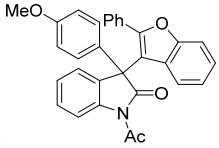
White solid (101 mg, 71 % yield); R_f = 0.60 (petroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 165-168 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.20 (d, *J* = 8.64 Hz, 1H), 7.80-7.77 (dd, *J* = 1.86 Hz, 1H), 7.67 (d, *J* = 1.80 Hz, 1H), 7.54 (d, *J* = 8.25 Hz, 1H), 7.40 (t, *J* = 6.09 Hz, 1H), 7.36-7.28 (m, 8H), 7.14 (t, *J* = 6.96 Hz, 2H), 7.09-7.04 (q, *J* = 7.26 Hz, 1H), 6.56 (d, *J* = 7.92 Hz, 1H), 2.18(s, 3H),. ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 175.62, 171.16, 154.47, 153.95, 138.95, 138.38, 138.32, 134.30, 133.94, 131.12, 129.76, 129.32, 128.81, 128.62, 128.19, 128.08, 124.66, 122.94, 121.85, 119.08, 116.33, 111.59, 89.52, 56.44, 26.49. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}INNaO_3^+$ ([M + Na]$^+$), 592.0380, found, 592.0378.

1-Acetyl-3-(2-phenylbenzofuran-3-yl)-3-(p-tolyl)indolin-2-one (3l)



White solid (78 mg, 68 % yield); R_f = 0.57 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 177-179 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.01 (d, *J* = 8.01 Hz, 1H), 7.51 (t, *J* = 8.37 Hz, 1H), 7.47 (d, *J* = 7.38 Hz, 2H), 7.41-7.38 (m, 1H), 7.36-7.24 (m, 5H), 7.17-7.14 (dd, *J* = 1.02 Hz, 3H), 7.11-7.07 (m, 3H), 6.65 (d, *J* = 7.80 Hz, 1H), 2.35 (s, 3H) , 2.15 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.54, 171.39, 154.48, 153.55, 139.22, 138.27, 135.96, 132.01, 131.34, 129.56, 129.38, 129.17, 128.48, 128.11, 125.80, 125.57, 124.42, 122.68, 122.00, 117.21, 117.16, 111.47, 56.30, 26.50, 21.20. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{23}NNaO_3^+$ ([M + Na]$^+$), 480.1570, found, 480.1581.

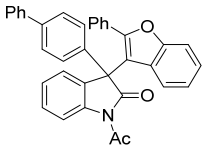
1-Acetyl-3-(4-methoxyphenyl)-3-(2-phenylbenzofuran-3-yl)indolin-2-one



(3m)

White solid (65 mg, 55 % yield); R_f = 0.66 (petroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 166-167 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.42 (d, *J* = 7.98 Hz, 1H), 7.53-7.45 (q, *J* = 8.16 Hz, 3H), 7.41-7.38 (m, 1H), 7.35-7.24 (m, 5H), 7.15-7.12 (q, *J* = 1.02 Hz, 3H), 7.09-7.04 (m, 1H), , 6.81 (d, *J* = 9.12 Hz, 2H), 6.65 (d, *J* = 7.71 Hz, 1H), 3.80 (s, 3H) , 2.14 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 176.60, 171.38, 159.65, 154.46, 153.46, 139.18, 132.07, 131.31, 130.84, 129.56, 129.34, 129.18, 128.46, 128.10, 125.79, 125.52, 124.41, 122.72, 121.93, 117.24, 117.17, 113.96, 111.46, 55.87, 55.35, 26.46. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{24}NO_4^+$ ([M + H]$^+$), 474.1700, found, 474.1704.

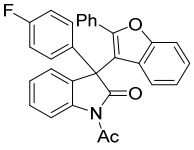
3-([1,1'-Biphenyl]-4-yl)-1-acetyl-3-(2-phenylbenzofuran-3-yl)indolin-2-one



(3n)

White solid (104 mg, 80 % yield); R_f = 0.51 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 190-192 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.47 (t, *J* = 7.98 Hz, 1H), 7.62-7.59 (t, *J* = 1.38 Hz, 2H), 7.57-7.51 (m, 5H), 7.49-7.42 (m, 3H), 7.40-7.28 (m, 7H), 7.19 (t, *J* = 6.84 Hz, 2H), 7.08 (t, *J* = 7.89 Hz, 1H), 6.72 (d, *J* = 7.86 Hz, 1H), 2.20 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 176.39, 171.3, 154.47, 153.71, 141.11, 140.30, 139.26, 137.86, 131.77, 131.27, 129.59, 129.39, 129.31, 128.91, 128.35, 128.11, 127.66, 127.21, 127.13, 125.88, 125.60, 124.51, 122.79, 121.86, 117.24, 116.98, 111.53, 56.44, 26.52. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{36}H_{26}NO_3^+$ ([M + H]$^+$), 520.1907, found, 520.1908.

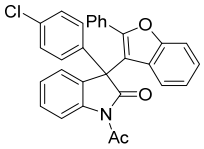
1-Acetyl-3-(4-fluorophenyl)-3-(2-phenylbenzofuran-3-yl)indolin-2-one



(3o)

White solid (77 mg, 67 % yield); R_f = 0.71 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 171-173 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.45 (d, *J* = 8.16 Hz, 1H), 7.55-7.52 (q, *J* = 5.76 Hz, 1H), 7.50-7.44 (m, 2H), 7.43-7.40 (m, 1H), 7.37-7.26 (m, 6H), 7.17-7.14 (dd, *J* = 1.08 Hz, 2H), 7.11-7.06 (m, 1H), 7.01-6.95 (m, 2H), 6.61 (d, *J* = 7.74 Hz, 1H), 2.15 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 176.13, 171.07, 162.61 (d, *J* = 246.86 Hz), 154.29, 153.46, 139.02, 134.51 (d, *J* = 3.20 Hz), 131.44, 130.98, 129.51, 129.26, 129.19, 127.97, 125.78, 125.31, 124.40, 122.66, 121.44, 117.12, 116.74, 115.38 (d, *J* = 21.83 Hz), 111.43, 55.85, 26.28. ^{19}F NMR (282 MHz, $CDCl_3$, 25 °C, δ): -113.57 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}FNNaO_3^+$ ([M + Na]$^+$), 484.1319, found, 484.1324.

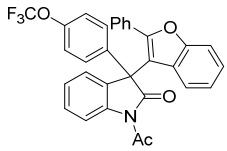
1-Acetyl-3-(4-chlorophenyl)-3-(2-phenylbenzofuran-3-yl)indolin-2-one



(3p)

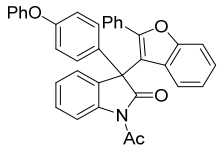
White solid (81 mg, 68 % yield); R_f = 0.54 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 168-170 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.44 (d, *J* = 8.19 Hz, 1H), 7.54-7.33 (m, 8H), 7.28-7.25 (t, *J* = 0.99 Hz, 4H), 7.15-7.07 (m, 3H), 6.64 (d, *J* = 7.89 Hz, 1H), 2.14 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.10, 171.23, 154.49, 153.76, 139.23, 137.51, 134.65, 131.36, 131.15, 129.73, 129.53, 129.39, 128.83, 128.18, 128.09, 126.02, 125.49, 124.64, 122.92, 121.65, 117.34, 116.67, 111.66, 56.22, 26.48. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}ClNNaO_3^+$ ([M + Na]$^+$), 500.1024, found, 500.1028 ; 501.1057, found, 501.1061.

1-Acetyl-3-(2-phenylbenzofuran-3-yl)-3-(4-(trifluoromethoxy)phenyl)indolin-2-one (3q)



White solid (115 mg, 87 % yield); R_f = 0.45 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 167-168 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.45 (d, *J* = 8.19 Hz, 1H), 7.55-7.46 (m, 3H), 7.42-7.39 (q, *J* = 2.43 Hz, 1H), 7.36-7.27 (m, 6H), 7.17-7.06 (m, 5H), 6.58 (d, *J* = 7.89 Hz, 1H), 2.18 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.12, 171.17, 154.43, 153.83, 149.27, 149.25, 139.22, 137.41, 131.28, 131.05, 129.69, 129.55, 129.35, 128.12, 128.02, 125.99, 125.49, 124.63, 122.88, 121.37, 120.80, 120.44 (q, *J* = 256.17 Hz), 117.34, 116.57, 111.64, 56.19, 26.46. ^{19}F NMR (282 MHz, $CDCl_3$, 25 ºC, δ): -57.78 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{20}F_3NNaO_4^+$ ([M + Na]$^+$), 550.1237, found, 550.1239.

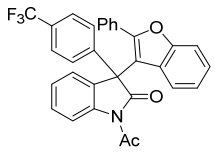
1-Acetyl-3-(4-phenoxyphenyl)-3-(2-phenylbenzofuran-3-yl)indolin-2-one (3r)



White solid (82 mg, 61% yield); R_f = 0.52 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 192-194 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.45 (t, *J* = 7.74 Hz, 1H), 7.56-7.52 (q, *J* = 8.22 Hz, 1H), 7.51-7.46 (m, 2H), 7.43-7.41 (m, 1H), 7.39-7.26 (m, 8H), 7.20-7.10 (m, 4H), 7.05-7.02 (dd, *J* = 1.11 Hz, 2H), 6.93 (d, *J* = 9.06 Hz, 2H), 6.70 (d, *J* = 7.68 Hz, 1H), 2.20 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.47, 171.28, 157.51, 156.66, 154.45, 153.63, 139.19, 133.35, 131.80, 131.25, 129.85, 129.57, 129.37, 129.29, 128.36, 128.09, 125.83, 125.53,

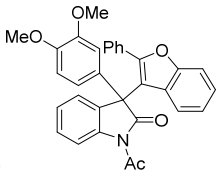
124.50, 123.71, 122.75, 121.72, 119.24, 118.50, 117.21, 117.03, 111.54, 56.04, 26.49. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{36}H_{25}NNaO_4^+$ ([M + Na]$^+$), 558.1676, found, 558.1682.

1-Acetyl-3-(2-phenylbenzofuran-3-yl)-3-(4-(trifluoromethyl)phenyl)indolin-2-one (3s)



White solid (81 mg, 63 % yield); R_f = 0.52 (petroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 168-171 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.46 (d, *J* = 8.10 Hz, 1H), 7.56-7.52 (m, 4H), 7.49 (d, *J* = 1.35 Hz, 1H), 7.46 (d, *J* = 4.02 Hz, 1H), 7.43-7.39 (m, 2H), 7.34 (t, *J* = 6.24 Hz, 2H), 7.32 (d, *J* = 1.62 Hz, 1H), 7.30-7.27 (m, 1H), 7.16 (t, *J* = 6.90 Hz, 2H), 7.11-7.06 (m, 1H), 6.57 (t, *J* = 7.74 Hz, 1H), 2.18 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 175.96, 171.25, 154.59, 154.11, 142.98, 139.38, 131.13 (d, *J* = 3.09 Hz), 130.72 (q, *J* = 32.52 Hz), 129.88, 129.79, 129.50, 128.29, 128.01, 127.12 (q, *J* = 275.75 Hz), 126.21, 125.68, 125.62, 124.84, 123.10, 121.50, 117.51, 116.47, 111.84, 56.83, 26.59. ^{19}F NMR (282 MHz, $CDCl_3$, 25 ºC, δ): -62.60 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{20}F_3NNaO_3^+$ ([M + Na]$^+$), 534.1287, found, 534.1292.

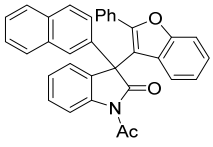
1-Acetyl-3-(3,4-dimethoxyphenyl)-3-(2-phenylbenzofuran-3-yl)indolin-2-one



(3t)

White solid (77 mg, 61 % yield); R_f = 0.42 (petroleum ether/ethyl acetate = 5 : 1 (v/v)); M.P. 165-167 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.42 (d, *J* = 8.13 Hz, 1H), 7.53-7.48 (m, 2H), 7.46-7.25 (m, 8H), 7.13 (t, *J* = 6.87 Hz, 2H), 7.08-7.03 (m, 1H), 6.75 (d, *J* = 8.28 Hz, 1H), 6.63 (d, *J* = 7.89 Hz, 1H), 3.87 (s, 3H), 3.64 (s, 3H), 2.16 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.57, 171.36, 154.38, 153.54, 149.31, 139.25, 132.11, 131.28, 131.03, 129.60, 129.31, 129.28, 128.49, 128.11, 125.76, 125.58, 124.47, 122.82, 121.82, 117.20, 117.08, 111.43, 56.10, 56.05, 55.95, 26.48. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{32}H_{26}ClNO_5^+$ ([M + H]$^+$), 504.1805, found, 504.1809.

1-Acetyl-3-(naphthalen-2-yl)-3-(2-phenylbenzofuran-3-yl)indolin-2-one

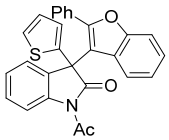


(3u)

White solid (102 mg, 83 % yield); R_f = 0.50 (petroleum ether/ethyl acetate = 20 : 1

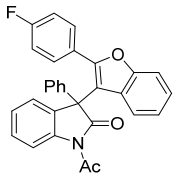
(v/v)); M.P. 163-165 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.53 (d, *J* = 8.07 Hz, 1H), 7.86-7.72 (m, 3H), 7.59-7.49 (m, 7H), 7.43-7.28 (m, 5H), 7.26-7.22 (m, 2H), 7.00-6.95 (m, 1H), 6.63 (d, *J* = 7.86 Hz, 1H), 2.17 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.17, 171.32, 154.50, 153.73, 139.31, 136.56, 133.04, 132.83, 131.80, 131.29, 129.64, 129.39, 128.64, 128.41, 128.37, 128.13, 127.71, 126.74, 126.42, 125.97, 125.67, 124.50, 122.81, 121.85, 117.27, 116.84, 111.50, 56.74, 26.48. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{34}H_{24}NO_3^+$ ([M + H]$^+$), 494.1751, found, 494.1755. A crystal structure of **3u** was obtained. The CCDC number is 2141348.

1-Acetyl-3-(2-phenylbenzofuran-3-yl)-3-(thiophen-2-yl)indolin-2-one (3v)



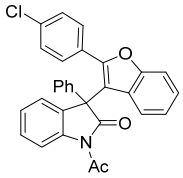
White solid (73 mg, 65 % yield); R_f = 0.59 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 171-173 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.44 (d, *J* = 8.10 Hz, 1H), 7.56-7.48 (m, 3H), 7.42 (d, *J* = 7.20 Hz, 1H), 7.37-7.31 (m, 4H), 7.28 (t, *J* = 2.97 Hz, 1H), 7.18-7.10 (m, 4H), 6.97-6.95 (q, *J* = 1.23 Hz, 1H), 6.66 (d, *J* = 7.83 Hz, 1H), 2.21 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 175.71, 171.29, 154.36, 153.23, 139.62, 139.03, 132.35, 131.15, 129.58, 129.32, 129.24, 128.67, 128.54, 128.13, 126.64, 125.83, 125.05, 124.52, 124.13, 122.93, 121.10, 117.24, 116.22, 111.49, 54.06, 26.49. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{28}H_{19}NO_3NaS^+$ ([M + Na]$^+$), 472.0978, found, 472.0986.

1-Acetyl-3-(2-(4-fluorophenyl)benzofuran-3-yl)-3-phenylindolin-2-one (3w)



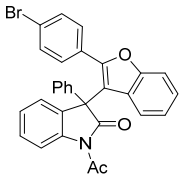
White solid (100 mg, 87 % yield); R_f = 0.64 (petroleum ether/ethyl acetate = 20 : 1 (v/v)). M.P. 165-168 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.44 (d, *J* = 8.04 Hz, 1H), 7.53-7.48 (q, *J* = 8.40 Hz, 2H), 7.46-7.42 (m, 1H), 7.34-7.23 (m, 7H), 7.19-7.14 (m, 2H), 7.08-6.99 (m, 3H), 6.58 (d, *J* = 7.65 Hz, 1H), 2.29 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.68, 171.27, 163.47 (d, *J* = 249.08 Hz), 154.51, 152.78, 139.24, 138.89, 131.76, 131.55, 131.44, 129.43, 128.77, 128.54, 128.38, 127.50 (d, *J* = 3.30 Hz), 125.99, 125.75, 124.75, 122.93, 121.97, 117.31, 117.25, 115.30 (d, *J* = 21.68 Hz), 111.59, 56.84, 26.60. ^{19}F NMR (282 MHz, $CDCl_3$, 25 ºC, δ): -110.54 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{21}FNO_3^+$ ([M + H]$^+$), 462.1500, found, 462.1501.

1-Acetyl-3-(2-(4-chlorophenyl)benzofuran-3-yl)-3-phenylindolin-2-one (3x)



White solid (106 mg, 89 % yield); R_f = 0.73 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 172-175 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.43 (d, *J* = 8.07 Hz, 1H), 7.53-7.48 (m, 2H), 7.45-7.40 (m, 2H), 7.32-7.25 (m, 8H), 7.12-7.01 (m, 3H), 6.56 (d, *J* = 7.65 Hz, 1H), 2.27 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.54, 171.21, 154.46, 152.44, 139.12, 138.78, 135.72, 131.56, 130.62, 129.73, 129.37, 128.67, 128.45, 128.29, 128.27, 125.92, 125.65, 124.75, 122.87, 121.90, 117.38, 117.23, 111.51, 56.75, 26.44. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}ClNNaO_3^+$ ([M + Na]$^+$), 500.1024, found, 500.1028; 501.1057, found, 501.1060.

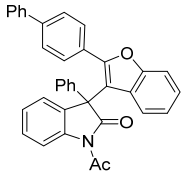
1-Acetyl-3-(2-(4-bromophenyl)benzofuran-3-yl)-3-phenylindolin-2-one



(3y)

White solid (112 mg, 86 % yield); R_f = 0.59 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 171-173 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.44 (d, *J* = 8.13 Hz, 1H), 7.53-7.45 (m, 3H), 7.45-7.41 (m, 2H), 7.32-7.23 (m, 7H), 7.07-7.02 (m, 3H), 6.60 (d, *J* = 7.86 Hz, 1H), 2.28 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.49, 171.19, 154.46, 152.43, 139.10, 138.76, 131.52, 131.24, 130.82 130.16, 129.36, 128.66, 128.44, 128.25, 125.91, 125.63, 124.75, 123.97, 122.87, 121.89, 117.40, 117.22, 111.51, 56.72, 26.42. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{21}BrNO_3^+$ ([M + H]$^+$), 522.0699, found, 522.0691; 524.0679, found, 524.0681.

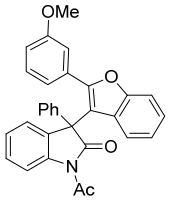
3-(2-([1,1'-Biphenyl]-4-yl)benzofuran-3-yl)-1-acetyl-3-phenylindolin-2-one (3z)



White solid (110 mg, 85 % yield); R_f = 0.70 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 185-188 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.48 (d, *J* = 8.10 Hz, 1H), 7.64-7.60 (m, 2H), 7.58-7.54 (m, 4H), 7.50 (d, *J* = 7.65 Hz, 3H), 7.45-7.42 (m, 1H), 7.33-7.25 (m, 8H), 7.26-7.23 (m, 1H), 7.23 (d, *J* = 1.71 Hz, 1H), 7.09-7.04 (m, 1H), 6.61 (d, *J* = 7.86 Hz, 1H), 2.17 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.46, 171.33, 154.49, 153.38, 142.43, 140.27, 139.23, 138.91, 131.78, 130.06, 129.78, 129.24, 129.03, 128.59, 128.36, 127.91, 127.18, 126.71,

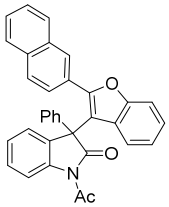
125.83, 125.63, 124.48, 122.71, 121.81, 117.33, 117.21, 111.49, 56.64, 26.39. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{36}H_{26}NO_3^+$ ([M + H]$^+$), 520.1907, found, 520.1907.

1-Acetyl-3-(2-(3-methoxyphenyl)benzofuran-3-yl)-3-phenylindolin-2-one (3aa)



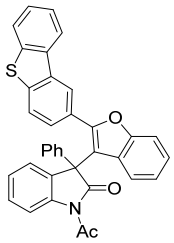
White solid (80 mg, 68 % yield); R_f = 0.67 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 163-165 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.46 (d, *J* = 7.86 Hz, 1H), 7.55-7.46 (m, 3H), 7.32-7.22 (m, 8H), 7.07-7.02 (m, 1H), 6.98-6.94 (m, 1H), 6.76-6.73 (q, *J* = 0.96 Hz, 2H), 7.65 (d, *J* = 7.65 Hz, 1H), 3.76 (s, 3H), 2.22 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.31, 171.27, 159.38, 154.41, 153.47, 139.27, 139.10, 132.46, 131.71, 129.24, 128.63, 128.41, 125.84, 125.61, 124.50, 122.74, 121.89, 121.68, 117.19, 115.98, 114.05, 111.51, 56.64, 55.29, 26.50. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{24}NO_4^+$ ([M + H]$^+$), 474.1700, found, 474.1705.

1-Acetyl-3-(2-(naphthalen-2-yl)benzofuran-3-yl)-3-phenylindolin-2-one (3ab)



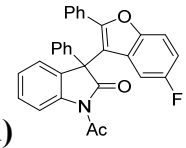
White solid (112 mg, 91 % yield); R_f = 0.63 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 184-187 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.54 (d, *J* = 7.95 Hz, 1H), 7.91-7.87 (dd, *J* = 5.49 Hz, 2H), 7.59-7.53 (m, 7H), 7.34-7.28 (m, 8H), 7.09-7.04 (m, 1H), 6.59 (d, *J* = 7.62 Hz, 1H), 1.70 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.36, 171.19, 154.68, 153.57, 139.39, 139.03, 133.40, 132.37, 131.88, 129.39, 128.88, 128.64, 128.55, 128.42, 128.17, 127.79, 127.35, 126.90, 126.04, 125.94, 125.72, 124.53, 122.75, 121.88, 117.74, 117.14, 111.55, 56.72, 25.86. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{34}H_{24}NO_3^+$ ([M + H]$^+$), 494.1751, found, 494.1751.

1-Acetyl-3-(2-(dibenzo[b,d]thiophen-2-yl)benzofuran-3-yl)-3-phenylindolin-2-one (3ac)



White solid (73 mg, 53 % yield); R_f = 0.50 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 201-203 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.43 (d, *J* = 8.07 Hz, 1H), 7.87-7.83 (m, 2H), 7.76 (d, *J* = 1.23 Hz, 1H), 7.55-7.49 (m, 3H), 7.48-7.46 (m, 2H), 7.38-7.35 (dd, *J* = 1.65 Hz, 1H), 7.31-7.25 (m, 8H), 7.06-7.01 (m, 1H), 6.57 (d, *J* = 7.71 Hz, 1H), 1.85 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.30, 170.82, 154.43, 153.43, 140.56, 139.60, 139.09, 138.88, 135.12, 134.62, 131.81, 129.17, 128.49, 128.41, 128.25, 127.33, 127.28, 127.17, 125.82, 125.59, 124.55, 124.41, 122.75, 122.64, 122.46, 122.22, 121.98, 121.75, 117.06, 111.38, 56.69, 25.80. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{36}H_{23}NNaO_3S^+$ ([M + Na]$^+$), 572.1291 found, 572.1296.

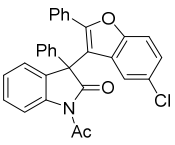
1-Acetyl-3-(5-fluoro-2-phenylbenzofuran-3-yl)-3-phenylindolin-2-one



(3ad)

White solid (85 mg, 74 % yield); R_f = 0.61 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 166-168 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.43 (d, *J* = 8.19 Hz, 1H), 7.52-7.42 (m, 4H), 7.49-7.26 (m, 8H), 7.12 (d, *J* = 6.96 Hz, 2H), 7.04-6.97 (m, 1H), 6.19-6.15 (dd, *J* = 2.58 Hz, 1H), 2.15 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.25, 171.26, 158.82 (d, *J* = 236.77 Hz), 155.47, 150.69, 139.23, 138.43, 131.55, 130.97, 129.83, 129.39 (d, *J* = 3.17 Hz,), 129.25 (d, *J* = 4.07 Hz), 128.79, 128.67, 128.19, 125.89, 125.55, 117.43 (d, *J* = 4.06 Hz), 117.28, 112.52, 112.21, 112.19 (d, *J* = 3.02 Hz), 107.44 (d, *J* = 26.10 Hz), 56.45, 26.50. ^{19}F NMR (282 MHz, $CDCl_3$, 25 ºC, δ): -119.94 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}FNNaO_3^+$ ([M + Na]$^+$), 484.1319, found, 484.1328.

1-Acetyl-3-(5-chloro-2-phenylbenzofuran-3-yl)-3-phenylindolin-2-one

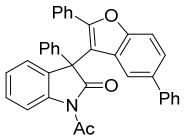


(3ae)

White solid (103 mg, 86 % yield); R_f = 0.68 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 162-165 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.43 (d, *J* = 8.19 Hz, 1H), 7.52-7.47 (m, 1H), 7.45-7.41 (m, 3H), 7.36-7.22 (m, 9H), 7.14-7.12 (t, *J* = 6.96 Hz, 2H), 6.46 (d, *J* = 2.01 Hz, 1H), 2.16 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.18, 171.21, 155.12, 152.82, 139.22, 138.41, 131.46, 130.78, 129.88, 129.84, 129.42, 129.28, 128.78, 128.68, 128.29, 128.18, 125.88,

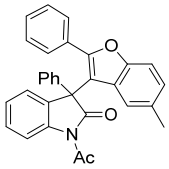
125.57, 124.77, 121.35, 117.26, 116.93, 112.48, 56.39, 26.47. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}ClNNaO_3^+$ ([M + Na]$^+$), 500.1024, found, 500.1020; 501.1057, found, 501.1054.

1-Acetyl-3-(2,5-diphenylbenzofuran-3-yl)-3-phenylindolin-2-one (3af)



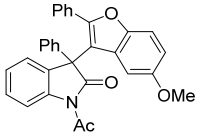
White solid (109 mg, 84 % yield); R_f = 0.59 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 171-174 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.47 (d, *J* = 7.80 Hz, 1H), 7.61-7.54 (q, *J* = 8.55 Hz, 2H), 7.50 (d, *J* = 7.53 Hz, 2H), 7.44-7.34 (m, 10H), 7.32-7.25 (m, 4H), 7.22-7.18 (dd, *J* = 1.11 Hz, 2H), 6.73 (d, *J* = 1.26 Hz, 1H), 2.19 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.34, 171.30, 154.23, 154.12, 141.45, 139.24, 138.98, 136.09, 131.73, 131.21, 129.70, 129.31, 129.00, 128.79, 128.70, 128.43, 128.18, 127.35, 126.95, 125.85, 125.76, 124.08, 120.45, 117.22, 111.58, 56.55, 26.51. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{36}H_{25}NNaO_3^+$ ([M + Na]$^+$), 542.1727, found, 542.1729.

1-Acetyl-3-(5-methyl-2-phenylbenzofuran-3-yl)-3-phenylindolin-2-one (3ag)



White solid (75 mg, 66 % yield); R_f = 0.56 (petroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 168-169 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.43 (d, *J* = 8.13 Hz, 1H), 7.51-7.46 (q, *J* = 7.62 Hz, 2H), 7.40-7.25 (m, 10H), 7.13 (t, *J* = 6.96 Hz, 2H), 7.11-7.08 (dd, *J* = 1.44 Hz, 1H), 6.30 (s, 1H), 2.25 (s, 3H), 2.15 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.33, 171.19, 153.55, 152.74, 139.09, 138.79, 131.84, 131.73, 131.27, 129.33, 129.13, 129.03, 128.38, 128.29, 128.14, 127.91, 125.63, 125.55, 125.49, 121.47, 116.98, 116.65, 110.77, 56.45, 26.33, 21.43. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{24}NO_3^+$ ([M + H]$^+$), 458.1751, found, 458.1749.

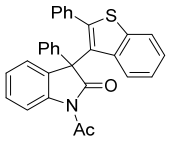
1-Acetyl-3-(5-methoxy-2-phenylbenzofuran-3-yl)-3-phenylindolin-2-one (3ah)



White solid (49 mg, 41 % yield); R_f = 0.6 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 161-162 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.43 (d, *J* = 8.04 Hz, 1H), 7.51-7.46 (q, *J* = 7.35 Hz, 2H), 7.41-7.26 (m, 10H), 7.14-7.11 (dd, *J* = 1.02 Hz, 2H), 6.89-6.85 (dd, *J* = 2.58 Hz, 1H), 5.93-5.92 (d, *J* =

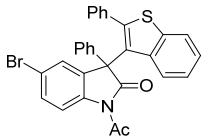
2.55 Hz, 1H), 3.52 (s, 3H), 2.15 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.36, 171.26, 155.44, 154.30, 149.42, 139.16, 138.79, 131.79, 131.30, 129.50, 129.21, 129.19, 128.89, 128.58, 128.31, 128.05, 125.76, 125.58, 117.14, 113.23, 111.80, 104.11, 56.46, 55.55, 26.44. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{31}H_{24}NO_4^+$ ([M + H]$^+$), 474.1700, found, 474.1703.

1-Acetyl-3-phenyl-3-(2-phenylbenzo[b]thiophen-3-yl)indolin-2-one (3ai)



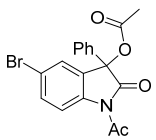
White solid (84 mg, 73 % yield); R_f = 0.69 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 198-200 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.41 (d, *J* = 8.13 Hz, 1H), 7.83 (d, *J* = 7.89 Hz, 1H), 7.54-7.28 (m, 13H), 7.11 (t, *J* = 7.74 Hz, 1H), 6.94-6.87 (dd, *J* = 8.31 Hz, 2H), 2.02 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 176.24, 171.31, 142.44, 140.36, 139.92, 139.35, 139.26, 134.69, 131.97, 130.85, 130.58, 130.16, 129.20, 128.91, 128.29, 128.16, 127.93, 126.19, 125.75, 125.28, 124.01, 123.89, 122.20, 117.18, 59.87, 26.32. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{22}NO_2S^+$ ([M + H]$^+$), 460.1366, found, 460.1368.

1-Acetyl-5-bromo-3-phenyl-3-(2-phenylbenzo[b]thiophen-3-yl)indolin-2-one (3aj)



White solid (105 mg, 78 % yield); R_f = 0.58 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 171-173 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.31 (d, *J* = 9.33 Hz, 1H), 7.85 (d, *J* = 7.86 Hz, 1H), 7.63-7.59 (m, 2H), 7.53-7.49 (m, 1H), 7.37-7.27 (m, 8H), 7.16-7.10 (m, 1H), 6.89 (d, *J* = 8.04 Hz, 2H), 2.03 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 175.52, 171.09, 142.98, 139.88, 139.71, 138.97, 138.37, 134.50, 134.02, 132.29, 130.63, 129.68, 129.31, 129.04, 128.52, 128.36, 128.23, 127.99, 126.04, 125.10, 124.21, 124.17, 122.30, 118.79, 118.71, 59.76, 26.26. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{30}H_{20}BrNNaO_2S^+$ ([M + Na]$^+$), 560.0290, found, 560.0287; 562.0270, found, 562.0272.

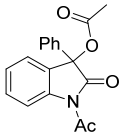
1-Acetyl-5-bromo-2-oxo-3-phenylindolin-3-yl acetate (4a)



White solid (64 mg, 66 % yield); R_f = (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 121-125 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.27 (d, *J* = 8.76 Hz, 1H), 7.60-7.56 (dd, *J* = 2.16 Hz, 1H), 7.41-7.36 (m, 4H), 7.31-7.27 (m,

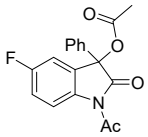
2H), 2.60 (s, 3H), 2.22 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.38, 170.70, 169.61, 139.97, 135.25, 133.63, 129.75, 129.56, 129.03, 126.93, 126.39, 118.82, 118.64, 80.55, 26.47, 20.69. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{14}BrNNaO_4^+$ ([M + Na]$^+$), 409.9998, found, 410.0003; 411.9978, found, 411.9981.

1-Acetyl-2-oxo-3-phenylindolin-3-yl acetate (4b)



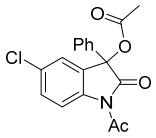
White solid (66 mg, 85 % yield); R_f = 0.32 (etroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 131-135 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.37 (d, *J* = 8.22 Hz, 1H), 7.50-7.44 (m, 1H), 7.38-7.35 (m, 3H), 7.34-7.31 (q, *J* = 1.83 Hz, 2H), 7.29-7.27 (q, *J* = 3.36 Hz, 2H), 2.61 (s, 3H), 2.19 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.95, 170.73, 169.43, 140.90, 135.78, 130.56, 129.36, 128.71, 127.21, 126.44, 125.71, 123.77, 116.80, 80.92, 26.37, 20.57. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{15}NNaO_4^+$ ([M + Na]$^+$), 332.0893, found, 332.0902.

1-Acetyl-5-fluoro-2-oxo-3-phenylindolin-3-yl acetate (4c)



White solid (50 mg, 61 % yield); R_f = 0.44 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 119-123ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.38-8.34 (dd, *J* = 4.59 Hz, 1H), 7.39-7.36 (m, 3H), 7.31-7.27 (m, 2H), 7.19-7.12 (m, 1H), 7.00-6.93 (dd, *J* = 2.76 Hz, 1H), 2.60 (s, 3H), 2.21 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.76, 170.69, 169.60, 160.55 (d, *J* = 244.51 Hz), 137.02 (d, *J* = 2.63 Hz), 135.33, 129.74, 129.23 (d, *J* = 7.97 Hz), 129.01, 126.41, 118.63 (d, *J* = 7.69 Hz), 117.26 (d, *J* = 22.43 Hz), 111.37 (d, *J* = 24.56 Hz), 80.80, 26.41, 20.67. ^{19}F NMR (282 MHz, $CDCl_3$, 25 ºC, δ): -115.14 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{14}FNNaO_4^+$ ([M + Na]$^+$), 350.0799, found, 350.0800.

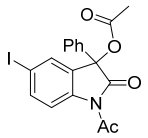
1-Acetyl-5-chloro-2-oxo-3-phenylindolin-3-yl acetate (4d)



White solid (58 mg, 68 % yield); R_f = 0.50 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 121-125 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.32 (d, *J* = 8.79 Hz, 1H), 7.45-7.37 (m, 4H), 7.32-7.27 (m, 2H), 7.24 (d, *J* = 2.25 Hz, 1H), 2.60 (s, 3H), 2.21 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.49, 170.70,

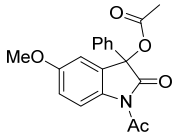
169.62, 139.46, 125.24, 131.30, 130.70, 129.74, 129.33, 129.02, 126.39, 124.08, 118.29, 80.63, 26.44, 20.67. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{14}ClNNaO_4^+$ ([M + Na]$^+$), 366.0504, found, 366.0505; 368.0474, found, 368.0477.

1-Acetyl-5-iodo-2-oxo-3-phenylindolin-3-yl acetate (4e)



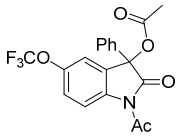
White solid (70 mg, 64 % yield); R_f = 0.58 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 118-123 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.16 (d, *J* = 8.64 Hz, 1H), 7.82-7.78 (dd, *J* = 1.89 Hz, 1H), 7.58 (d, *J* = 1.80 Hz, 1H), 7.42-7.38 (m, 3H), 7.32-7.28 (q, *J* = 2.07 Hz, 2H), 2.62 (s, 3H), 2.24 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 173.20, 170.70, 169.58, 140.64, 139.56, 135.25, 132.55, 129.69, 128.99, 126.35, 118.89, 89.29, 80.36, 26.47, 20.69. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{14}INNaO_4^+$ ([M + Na]$^+$), 457.9860, found, 457.9867.

1-Acetyl-5-methoxy-2-oxo-3-phenylindolin-3-yl acetate (4f)



White solid (56 mg, 66 % yield); R_f = 0.27 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 114-118 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.29 (d, *J* = 8.97 Hz, 1H), 7.38-7.35 (m, 3H), 7.34-7.29 (m, 2H), 6.99-6.95 (dd, *J* = 2.79 Hz, 1H), 6.80 (d, *J* = 2.73 Hz, 1H), 3.79 (s, 3H), 2.59 (s, 3H), 2.20 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 173.92, 170.43, 169.38, 157.57, 135.70, 134.25, 129.35, 128.71, 128.49, 126.38, 117.91, 114.99, 109.74, 81.06, 55.54, 26.20, 20.57. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{19}H_{17}NNaO_5^+$ ([M + Na]$^+$), 362.0999, found, 362.1008.

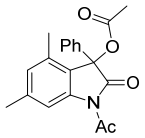
1-Acetyl-2-oxo-3-phenyl-5-(trifluoromethoxy)indolin-3-yl acetate (4g)



White solid (57 mg, 58 % yield); R_f = 0.50 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 121-122 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.44 (d, *J* = 8.97 Hz, 1H), 7.42-7.39 (m, 3H), 7.33-7.28 (m, 3H), 7.17 (d, *J* = 1.83 Hz, 1H), 2.63 (s, 3H), 2.24 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 173.56, 170.71, 169.66, 146.79 (d, *J* = 1.93 Hz), 139.39, 135.12, 129.83, 129.15, 129.07, 126.41, 123.63 (q, *J* = 256.09 Hz), 123.26, 118.33, 117.00, 80.62, 26.40, 20.62. ^{19}F NMR (282

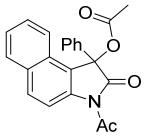
MHz, $CDCl_3$, 25 ºC, δ): -58.15 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{19}H_{14}F_3NNaO_5^+$ ([M + Na]$^+$), 416.0716, found, 416.0717.

1-Acetyl-4,6-dimethyl-2-oxo-3-phenylindolin-3-yl acetate (4h)



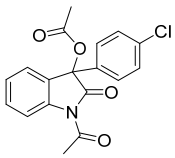
White solid (53 mg, 63 % yield); R_f = 0.40 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 116-120 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.06 (s, 1H), 7.37-7.34 (m, 3H), 7.32-7.27 (m, 2H), 6.88 (s, 1H), 2.58 (s, 3H), 2.41 (s, 3H), 2.21 (s, 3H), 2.03 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 174.24, 171.01, 169.49, 141.29, 140.91, 135.05, 134.62, 129.32, 128.93, 128.49, 126.08, 121.88, 115.01, 81.67, 26.66, 22.14, 20.44, 17.82. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{20}H_{19}NNaO_4^+$ ([M + Na]$^+$), 360.1206, found, 360.1211.

1-Acetyl-2-oxo-3-phenyl-2,3-dihydro-1H-benzo[f]indol-3-yl acetate (4i)



White solid (63 mg, 70 % yield); R_f = 0.51 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 132-135 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.61 (d, *J* = 9.06 Hz, 1H), 8.01 (d, *J* = 9.06 Hz, 1H), 7.91 (d, *J* = 7.68 Hz, 1H), 7.62 (d, *J* = 8.04 Hz, 1H), 7.42-7.31 (m, 7H), 2.65 (s, 3H), 2.17 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 174.52, 171.05, 169.54, 139.92, 135.23, 131.80, 131.44, 129.47, 129.17, 128.95, 128.23, 127.63, 126.38, 125.36, 123.47, 119.37, 116.14, 82.02, 26.59, 20.47. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{22}H_{17}NNaO_4^+$ ([M + Na]$^+$), 382.1050, found, 382.1053. A crystal structure of 4i was obtained. The CCDC number is 2132602. The checkcif reported an Alert level A (0.892 why?). The reason is that we only can get 89.2% integrity of single crystal data collection. The data is fully support the proposed structure of **4i**.

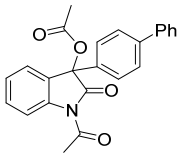
1-Acetyl-3-(4-chlorophenyl)-2-oxoindolin-3-yl acetate (4j)



White solid (67 mg, 78 % yield); R_f = 0.52 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 119-122 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.38 (d, *J* = 8.25 Hz, 1H), 7.53-7.47 (m, 1H), 7.40-7.36 (m, 1H), 7.34 (d, *J* = 2.07 Hz, 2H), 7.28-7.24 (m, 3H), 2.63 (s, 3H), 2.21 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.75, 170.76, 169.40, 141.01, 135.72, 134.42, 130.93, 129.03, 128.08, 126.80, 125.95, 123.83, 117.05, 80.57, 26.48, 20.65. Mass Spectrometry: HRMS (ESI-TOF)

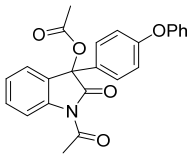
(m/z): calcd for $C_{18}H_{14}ClNNaO_4^+$ ([M + Na]$^+$), 366.0504, found, 366.0513; 368.0474, found, 368.0478.

3-([1,1'-Biphenyl]-4-yl)-1-acetyl-2-oxoindolin-3-yl acetate (4k)



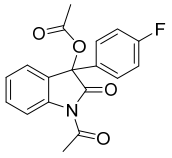
White solid (72 mg, 75 % yield); R_f = 0.42 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 125-128 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.41 (d, *J* = 8.22 Hz, 1H), 7.61-7.56 (m, 4H), 7.53-7.37 (m, 6H), 7.34-7.31 (m, 2H), 2.65 (s, 3H), 2.22 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 174.08, 170.89, 169.58, 142.48, 141.04, 140.23, 134.75, 130.76, 128.96, 127.85, 127.57, 127.26, 127.23, 127.08, 125.88, 123.93, 117.00, 80.98, 26.53, 20.72. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{24}H_{19}NNaO_4^+$ ([M + Na]$^+$), 408.1206, found, 408.1204.

1-Acetyl-2-oxo-3-(4-phenoxyphenyl)indolin-3-yl acetate (4l)



White solid (70 mg, 70 % yield); R_f = 0.42 (etroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 123-126 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.38 (d, *J* = 8.19 Hz, 1H), 7.51-7.46 (m, 1H), 7.39-7.34 (m, 2H), 7.34-7.30 (m, 3H), 7.28 (d, *J* = 2.49 Hz, 1H), 7.18-7.13 (m, 1H), 7.06-7.02 (m, 2H), 7.00-6.95 (m, 2H), 2.65 (s, 3H), 2.20(s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 174.13, 170.87, 169.59, 158.76, 156.29, 140.98, 130.74, 129.98, 128.43, 127.14, 125.82, 124.10, 123.91, 119.67, 118.37, 116.99, 80.74, 26.54, 20.72. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{24}H_{19}NNaO_5^+$ ([M + Na]$^+$), 424.1155, found, 424.1160.

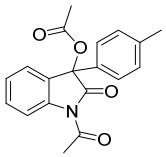
1-Acetyl-3-(4-fluorophenyl)-2-oxoindolin-3-yl acetate (4m)



White solid (61 mg, 75 % yield); R_f = 0.30 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 131-133 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.38 (d, *J* = 8.25 Hz, 1H), 7.52-7.47 (m, 1H), 7.34-7.31 (m, 2H), 7.29 (t, *J* = 1.86 Hz, 2H), 7.09-7.03 (q, *J* = 8.52 Hz, 2H), 2.63 (s, 3H), 2.20 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.93, 170.78, 169.45, 163.40 (d, *J* = 248.07 Hz), 140.99, 131.68 (d, *J* = 3.10 Hz), 130.87, 128.76 (d, *J* = 8.39 Hz),, 126.95, 125.90, 123.86, 117.02, 115.82 (d, *J* = 21.62 Hz), 80.54, 26.47, 20.64. ^{19}F NMR (282 MHz, $CDCl_3$, 25 ºC, δ): -111.77 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{14}FNNaO_4^+$

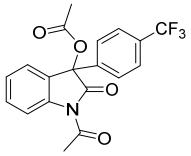
([M + Na]$^+$), 350.0799, found, 350.0804.

1-Acetyl-2-oxo-3-(p-tolyl)indolin-3-yl acetate (4n)



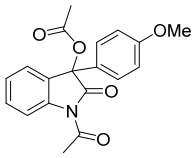
White solid (72 mg, 89 % yield); R_f = 0.38 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 116-120 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.39 (d, *J* = 8.22 Hz, 1H), 7.51-7.46 (m, 1H), 7.31-7.28 (m, 2H), 7.24-7.17 (m, 4H), 2.64 (s, 3H), 2.37 (s, 3H), 2.21 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): . Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{19}H_{17}NNaO_4^+$ ([M + Na]$^+$), 346.1050, found, 346.1055.

1-Acetyl-2-oxo-3-(4-(trifluoromethyl)phenyl)indolin-3-yl acetate (4o)



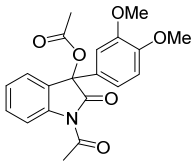
White solid (56 mg, 59 % yield); R_f = 0.33 (etroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 122-124 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.40 (d, *J* = 8.22 Hz, 1H), 7.65 (d, *J* = 8.37 Hz, 2H), 7.54-7.44 (m, 3H), 7.35-7.28 (m, 2H), 2.63 (s, 3H), 2.23 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.53, 170.70, 169.31, 141.12, 131.60 (q, *J* = 32.60 Hz), 131.12, 129.10, 127.60 (q, *J* = 257.38 Hz), 127.08, 126.74, 126.09, 125.73 (q, *J* = 3.54 Hz), 123.86, 117.15, 80.72, 26.48, 20.62. ^{19}F NMR (282 MHz, $CDCl_3$, 25 ºC, δ): -62.86 (s). Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{19}H_{14}F_3NNaO_4^+$ ([M + Na]$^+$), 400.0767, found, 400.0766.

1-Acetyl-3-(4-methoxyphenyl)-2-oxoindolin-3-yl acetate (4p)



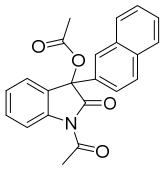
White solid (58 mg, 68 % yield); R_f = 0.28 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 117-121 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.37 (d, *J* = 8.22 Hz, 1H), 7.51-7.45 (m, 1H), 7.31 (d, *J* = 4.35 Hz, 2H), 7.27 (t, *J* = 3.12 Hz, 1H), 7.25 (d, *J* = 2.16 Hz, 1H), 6.91-6.88 (dd, *J* = 2.16 Hz, 2H), 3.81 (s, 3H), 2.63 (s, 3H), 2.19 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 174.27, 170.92, 169.69, 160.58, 140.94, 130.63, 128.23, 127.60, 127.29, 125.76, 123.92, 116.93, 114.21, 80.77, 55.42, 26.50, 20.72. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{19}H_{17}NNaO_5^+$ ([M + Na]$^+$), 362.0999, found, 362.1002.

1-Acetyl-3-(3,4-dimethoxyphenyl)-2-oxoindolin-3-yl acetate (4q)



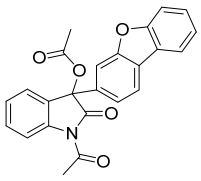
White solid (59 mg, 64 % yield); R_f = 0.23 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 113-117 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.34 (d, *J* = 8.19 Hz, 1H), 7.49-7.43 (m, 1H), 7.29 (d, *J* = 4.26 Hz, 2H), 7.05 (d, *J* = 2.16 Hz, 1H), 6.77 (d, *J* = 8.52 Hz, 1H), 6.63-6.59 (dd, *J* = 2.19 Hz, 1H), 3.87 (s, 3H), 3.85 (s, 3H), 2.61 (s, 3H), 2.18 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 174.16, 170.95, 169.68, 150.26, 149.34, 140.99, 130.72, 127.86, 127.10, 125.72, 123.96, 119.80, 116.97, 110.78, 110.06, 80.83, 56.11, 56.03, 26.54, 20.80. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{20}H_{19}NNaO_6^+$ ([M + Na]$^+$), 392.1105, found, 392.1112.

1-Acetyl-3-(naphthalen-2-yl)-2-oxoindolin-3-yl acetate (4r)



White solid (58 mg, 65 % yield); R_f = 0.37 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 131-135 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.44 (d, *J* = 8.22 Hz, 1H), 7.90-7.83 (m, 2H), 7.77 (t, *J* = 2.22 Hz, 1H), 7.64 (s, 1H), 7.60-7.57 (q, *J* = 1.89 Hz, 1H), 7.56-7.49 (m, 3H), 7.34-7.33 (t, *J* = 0.72 Hz, 2H), 3.16 (s, 3H), 3.12 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 174.05, 170.90, 169.60, 141.12, 133.57, 133.18, 132.82, 130.81, 128.93, 128.52, 127.71, 127.34, 127.17, 126.70, 126.33, 125.93, 124.01, 123.63, 117.02, 81.23, 26.51, 20.76. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{22}H_{18}NO_4^+$ ([M + H]$^+$), 360.1230, found, 360.1236.

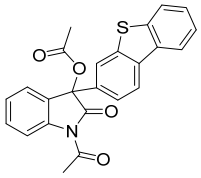
1-Acetyl-3-(dibenzo[b,d]furan-3-yl)-2-oxoindolin-3-yl acetate (4s)



White solid (57 mg, 57 % yield); R_f = 0.35 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 131-133 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 °C, δ): 8.45 (d, *J* = 8.22 Hz, 1H), 7.98-7.96 (dd, *J* = 1.11 Hz, 1H), 7.91 (d, *J* = 7.59 Hz, 1H), 7.86-7.83 (dd, *J* = 1.11 Hz, 1H), 7.48-7.40 (m, 4H), 7.35-7.26 (m, 2H), 7.19-7.14 (m, 1H), 2.81 (s, 3H), 2.30 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 °C, δ): 174.02, 170.76, 168.86, 155.73, 151.76, 141.23, 130.51, 127.51, 126.93, 125.41, 125.28, 123.92, 123.34, 123.29, 123.06, 122.98, 121.47, 121.27, 120.59, 116.80, 111.57, 79.64, 26.42, 20.69. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{24}H_{17}NNaO_5^+$ ([M +

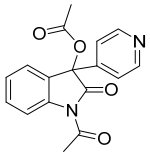
Na]$^+$), 422.0999, found, 422.1000.

1-Acetyl-3-(dibenzo[b,d]thiophen-3-yl)-2-oxoindolin-3-yl acetate (4t)



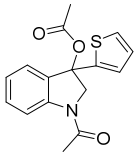
White solid (89 mg, 86 % yield); R_f = 0.35 (etroleum ether/ethyl acetate = 5 : 1 (v/v)); M.P. 133-135 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.43 (d, *J* = 8.22 Hz, 1H), 8.10-8.06 (m, 2H), 7.86-7.83 (dd, *J* = 1.74 Hz, 2H), 7.57-7.50 (m, 1H), 7.48-7.44 (m, 2H), 7.41-7.38 (dd, *J* = 1.89 Hz, 1H), 7.35 (d, *J* = 4.29 Hz, 2H), 2.63 (s, 3H), 2.26 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 174.16, 170.95, 169.65, 141.18, 140.86, 139.94, 135.89, 135.08, 132.31, 130.95, 127.32, 125.99, 124.99, 124.66, 124.04, 123.27, 122.99, 121.92, 119.90, 117.12, 81.23, 26.55, 20.85. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{24}H_{17}NNaO_4S^+$ ([M + Na]$^+$), 438.0770, found, 438.0778.

1-Acetyl-2-oxo-3-(pyridin-4-yl)indolin-3-yl acetate (4u)



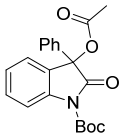
White solid (22 mg, 28 % yield); R_f = 0.51 (etroleum ether/ethyl acetate = 3 : 1 (v/v)); M.P. 135-137 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.60 (d, *J* = 5.97 Hz, 2H), 8.36 (d, *J* = 8.25 Hz, 1H), 7.52-7.46 (m, 1H), 7.31-7.28 (dd, *J* = 0.87 Hz, 1H), 7.22-7.19 (m, 3H), 2.61 (s, 3H), 2.21 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.03, 170.64, 169.16, 150.24, 145.03, 141.10, 131.28, 126.21, 126.16, 123.77, 121.05, 117.20, 80.23, 26.51, 20.59. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{17}H_{15}N_2O_4^+$ ([M + H]$^+$), 311.1026, found, 311.1033.

1-Acetyl-2-oxo-3-(thiophen-2-yl)indolin-3-yl acetate (4v)



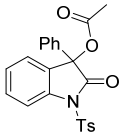
Colorless solid (38 mg, 50 % yield); R_f = 0.58 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 115-118 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.36 (d, *J* = 8.22 Hz, 1H), 7.50-7.45 (m, 1H), 7.40-7.37 (m, 2H), 7.33-7.27 (m, 1H), 7.24-7.22 (dd, *J* = 1.29 Hz, 1H), 7.09-7.07 (dd, *J* = 1.29 Hz, 1H), 2.65 (s, 3H), 2.17 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.45, 170.88, 169.54, 140.59, 136.43, 130.76, 127.26, 127.22, 126.20, 125.72, 125.49, 123.57, 117.04, 79.05, 26.58, 20.68. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{16}H_{13}NNaO_4S^+$ ([M + Na]$^+$), 338.0457, found, 338.0464.

Tert-butyl 3-acetoxy-2-oxo-3-phenylindoline-1-carboxylate (4w)



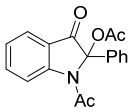
White solid (44 mg, 48 % yield); R_f = 0.42 (etroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 121-123 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 7.76 (d, *J* = 8.16 Hz, 1H), 7.47-7.43 (m, 1H), 7.37 (t, *J* = 1.95 Hz, 5H), 7.28-7.23 (m, 2H), 2.21 (s, 3H), 1.37 (s, 9H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 181.38, 172.66, 169.17, 141.86, 136.12, 130.32, 129.24, 128.72, 128.15, 126.30, 125.01, 123.93, 115.21, 81.14, 43.31, 26.67, 20.69. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{16}H_{13}NNaO_3^+$ ([M + Na - Boc]$^+$), 290.0788, found, 290.0791.

2-Oxo-3-phenyl-1-tosylindolin-3-yl acetate (4x)



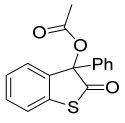
White solid (67 mg, 64 % yield); R_f = 0.27 (etroleum ether/ethyl acetate = 10 : 1 (v/v)); M.P. 126-128 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.02-7.97 (q, *J* = 7.14 Hz, 3H), 7.50-7.44 (m, 1H), 7.36-7.33 (q, *J* = 1.29 Hz, 3H), 7.32-7.26 (m, 4H), 7.24-7.20 (m, 2H), 2.43 (s, 3H), 2.05 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 171.65, 169.00, 145.64, 140.05, 135.08, 134.04, 130.73, 129.64, 129.44, 128.79, 128.16, 127.55, 126.48, 125.45, 124.31, 113.78, 80.62, 21.82, 20.50. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{23}H_{19}NNaO_5S^+$ ([M + Na]$^+$), 444.0876, found, 444.0886.

1-Acetyl-3-oxo-2-phenylindolin-2-yl acetate (4y)



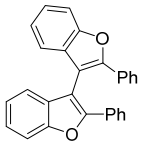
White solid (56 mg, 72 % yield); R_f = 0.55 (etroleum ether/ethyl acetate = 5 : 1 (v/v)); M.P. 125-127 °C; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.37 (d, *J* = 8.22 Hz, 1H), 7.50-7.44 (m, 1H), 7.38-7.34 (m, 3H), 7.32 (t, *J* = 1.83 Hz, 2H), 7.29-7.27 (m, 2H), 2.61 (s, 3H), 2.19 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 174.06, 170.84, 169.53, 141.02, 135.89, 130.67, 129.47, 128.82, 127.32, 126.55, 125.82, 123.88, 116.92, 81.03, 26.48, 20.68. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{18}H_{15}NNaO_4^+$ ([M + Na]$^+$), 332.0893, found, 332.0901.

2-Oxo-3-phenyl-2,3-dihydrobenzo[b]thiophen-3-yl acetate (4z)



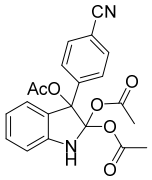
White solid (51 mg, 71 % yield); R_f = 0.57 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); M.P. 121-125 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 7.46-7.41 (m, 2H), 7.37-7.31 (m, 5H), 7.30-7.26 (m, 1H), 7.20 (d, *J* = 7.17 Hz, 1H), 2.21 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 200.34, 169.11, 136.29, 135.98, 135.35, 130.21, 129.44, 128.84, 127.21, 126.39, 124.93, 123.63, 88.44, 20.71. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{16}H_{12}NaO_3S^+$ ([M + Na]$^+$), 307.0399, found, 307.0405.

2,2'-diphenyl-3,3'-bibenzofuran (10)



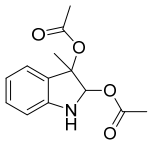
White solid (trace); R_f = 0.88 (etroleum ether/ethyl acetate = 20 : 1 (v/v)); NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 7.82-7.79 (m, 4H), 7.68-7.65 (d, *J* = 8.25 Hz, 2H), 7.40-7.7.34 (m, 2H), 7.28-7.26 (q, *J* =2.13 Hz, 6H), 7.20-7.12 (m, 4H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 154.50, 152.11, 130.58, 129.61, 128.71, 128.65, 126.36, 125.06, 123.14, 120.84, 111.36, 107.81. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{28}H_{19}O_2^+$ ([M + H]$^+$), 387.1380, found, 387.1371.

3-(4-Cyanophenyl)indoline-2,2,3-triyl triacetate (11)



White solid (30 mg, 30 % yield); R_f = 0.25 (etroleum ether/ethyl acetate = 1 : 1 (v/v)); Disassociated at 110 ºC. NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.90 (s, 1H), 8.36 (d, *J* = 8.25 Hz, 1H), 7.86 (d, *J* = 8.58 Hz, 2H), 7.52-7.46 (m, 1H), 7.43 (d, *J* = 8.58 Hz, 2H), 7.32-7.27 (m, 1H), 7.24-7.21 (dd, *J* = 1.29 Hz, 1H), 2.60 (s, 3H), 2.59 (s, 3H), 2.21 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 173.58, 173.46, 170.77, 169.34, 165.05, 141.20, 141.11, 133.63, 131.19, 128.25, 127.23, 126.73, 126.15, 123.86, 117.19, 80.83, 26.54, 25.74, 20.71. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{21}H_{18}N_2NaO_6^+$ ([M + Na]$^+$), 417.1057, found, 417.1067.

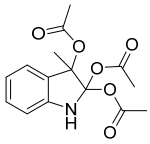
3-Methylindoline-2,3-diyl diacetate (12)



White solid (11 mg, 17 % yield); R_f = 0.17 (etroleum ether/ethyl acetate = 5 : 1 (v/v)); M.P. 111-114 ºC; NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.04 (d, *J* = 7.26 Hz, 1H), 7.38-7.31 (m, 2H), 7.18-7.13 (m, 1H), 6.57 (s, 1H), 3.89 (s,

1H), 2.07 (s, 3H), 1.94 (s, 3H), 1.56 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 170.09, 169.88, 141.77, 134.36, 130.36, 125.05, 123.00, 117.81, 91.31, 78.27, 22.95, 20.90, 19.34. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{13}H_{15}NNaO_4^+$ ($[M + Na]^+$), 272.0893, found, 272.0898.

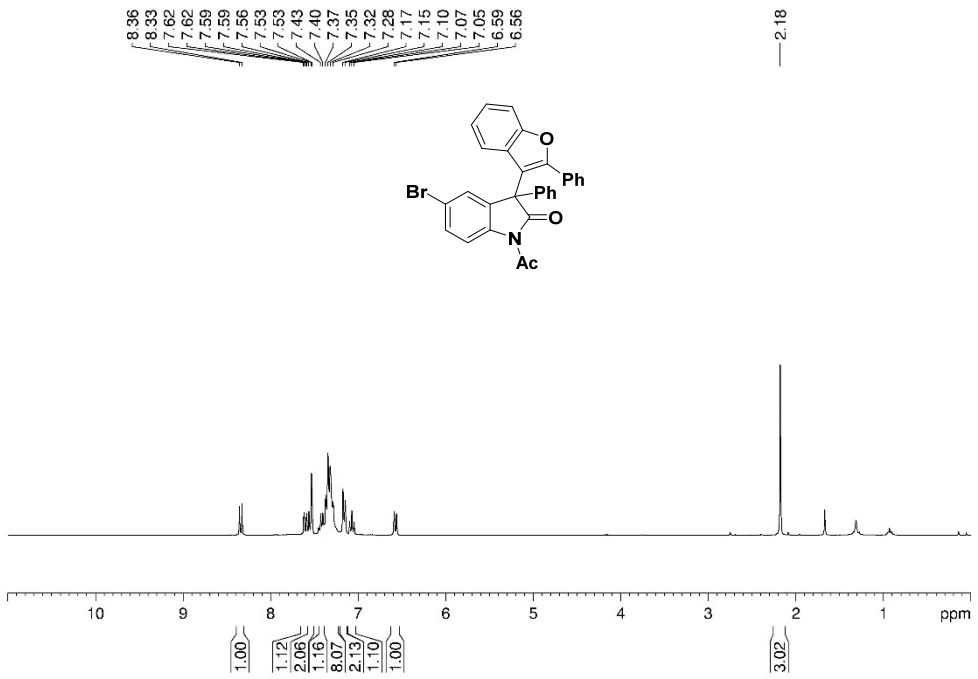
3-Methylindoline-2,2,3-triyl triacetate (13)



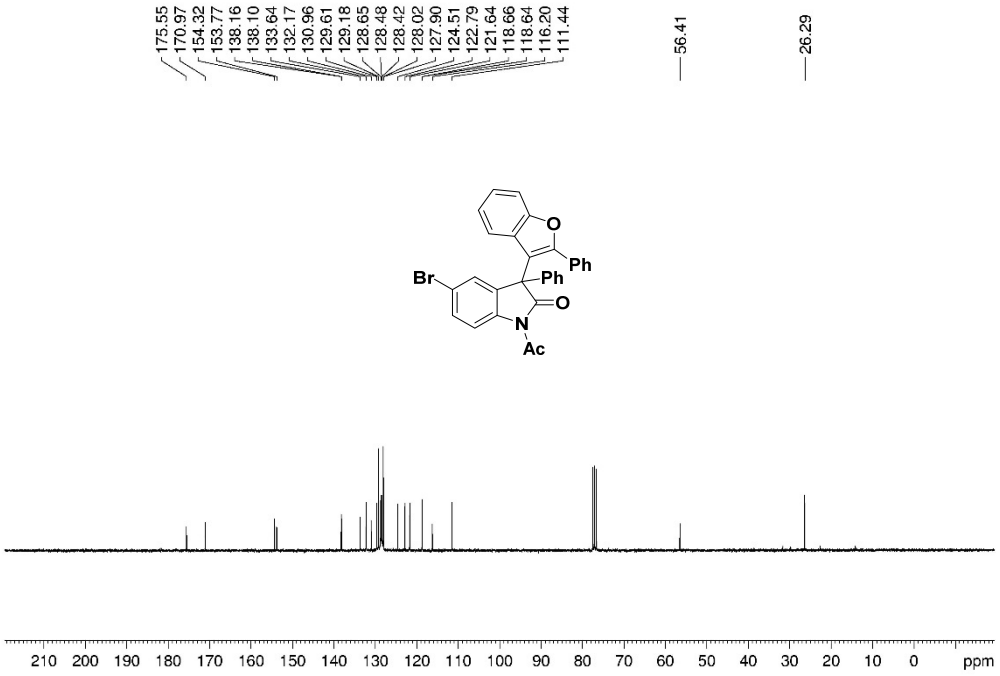
White solid (16 mg, 21 % yield); R_f = 0.33 (etroleum ether/ethyl acetate = 5 : 1 (v/v)); Disassociated at 105 ºC. NMR Spectroscopy: ^{1}H NMR (300 MHz, $CDCl_3$, 25 ºC, δ): 8.14 (s, 1H), 7.56 (d, *J* = 7.53 Hz, 1H), 7.39-7.33 (m, 1H), 7.15-7.10 (m, 1H), 6.91 (s, 1H), 2.27 (s, 3H), 2.12 (s, 3H), 1.94 (s, 3H), 1.81 (s, 3H). ^{13}C NMR (75 MHz, $CDCl_3$, 25 ºC, δ): 169.63, 168.86, 143.02, 130.80, 126.12, 124.14, 117.28, 88.70, 23.29, 21.97, 20.78, 17.13. Mass Spectrometry: HRMS (ESI-TOF) (m/z): calcd for $C_{11}H_{11}NNaO_3^+$ ($[M – 2\text{-OAc} + Na]^+$), 228.0631, found, 228.0630.

8. NMR Spectrum

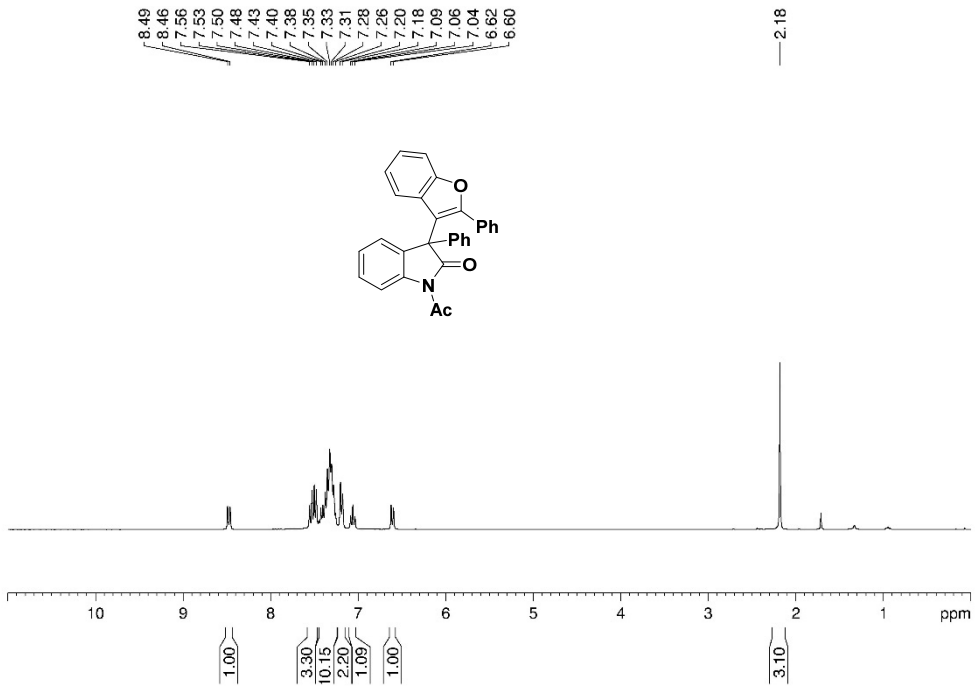
^{1}H NMR ($CDCl_3$, 25 ºC) of **3a**



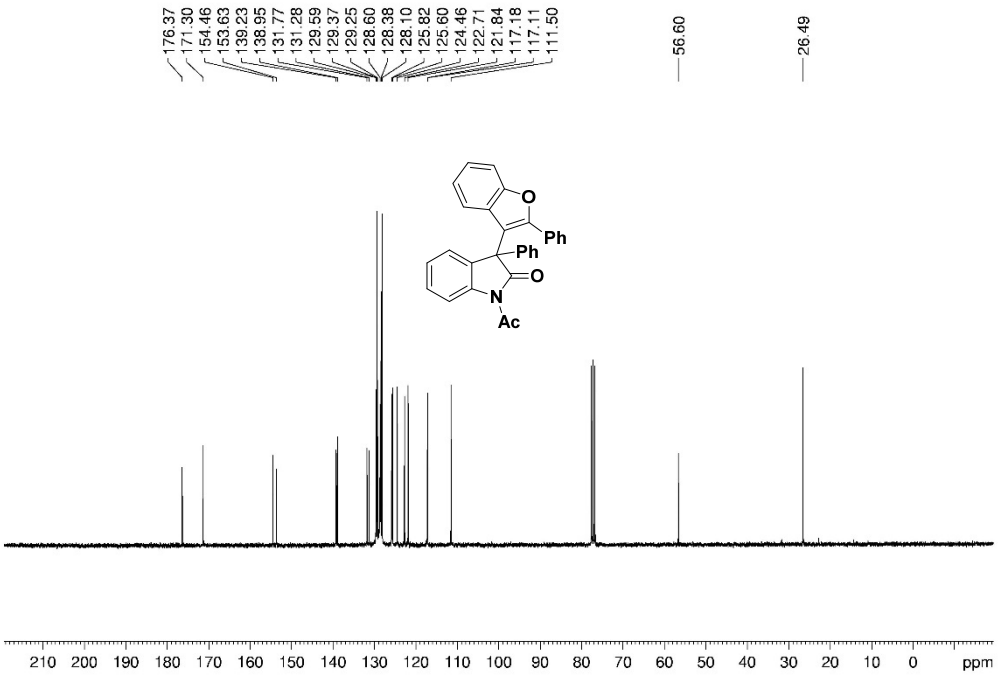
^{13}C NMR ($CDCl_3$, 25 ºC) of **3a**



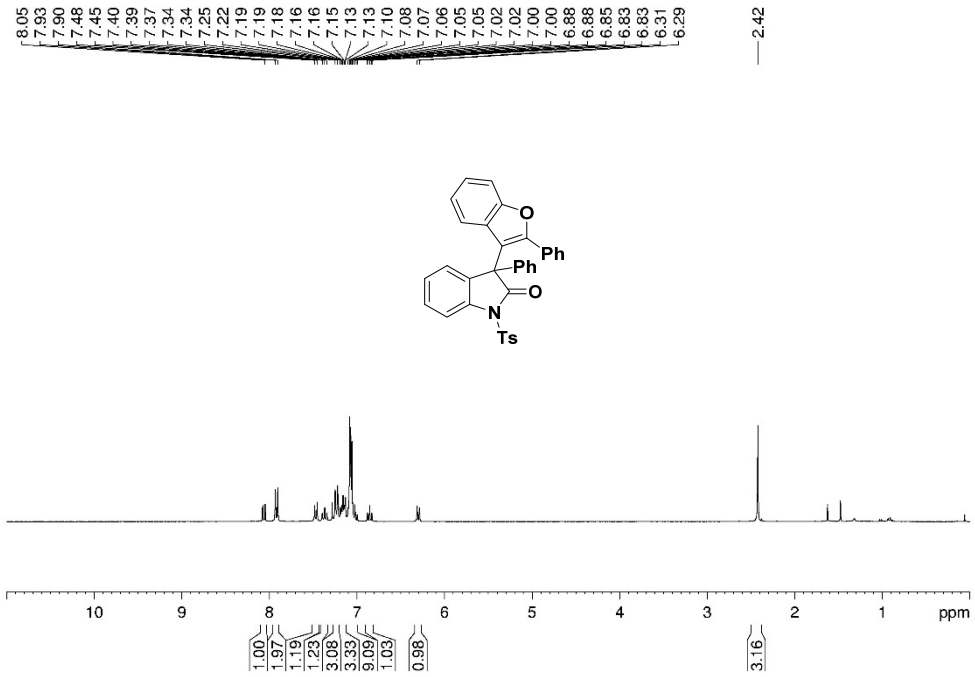
^{1}H NMR ($CDCl_3$, 25 ºC) of **3b**



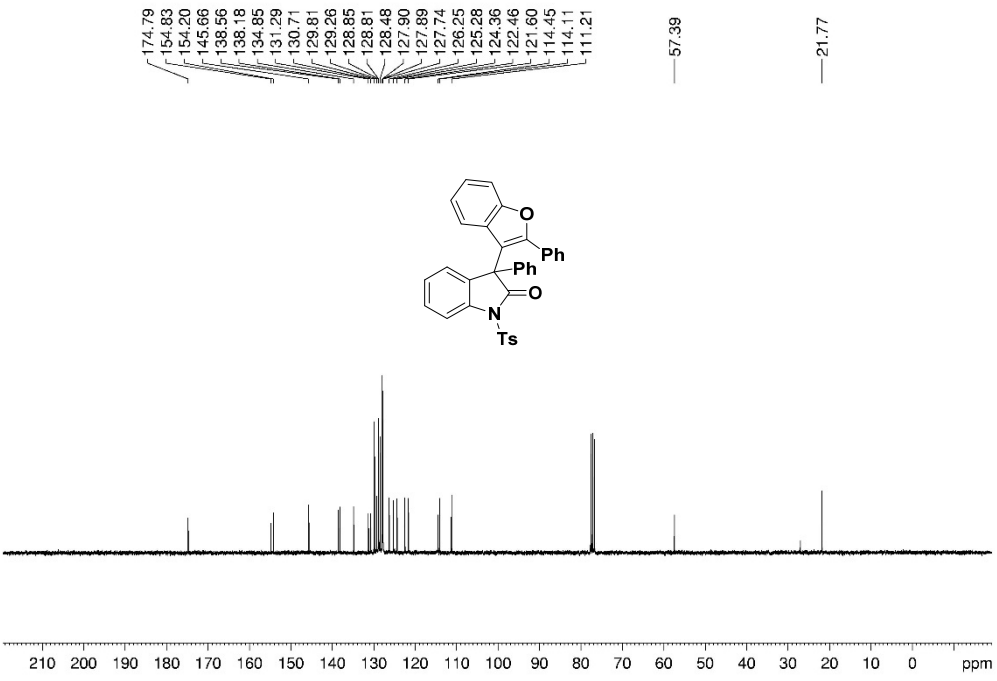
^{13}C NMR ($CDCl_3$, 25 ºC) of **3b**



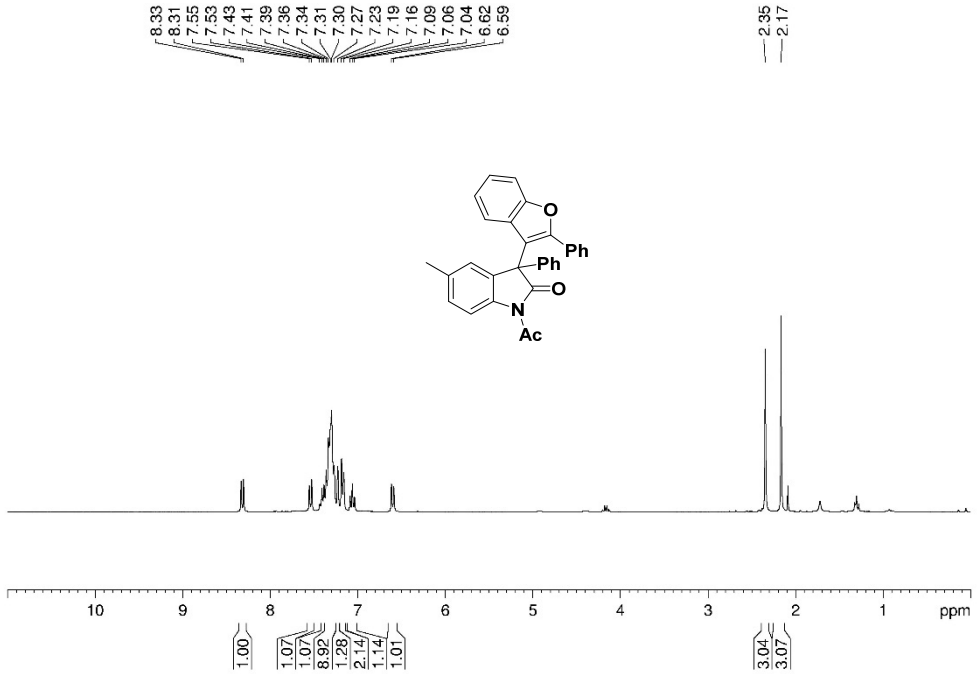
^{1}H NMR ($CDCl_3$, 25 ºC) of **3c**



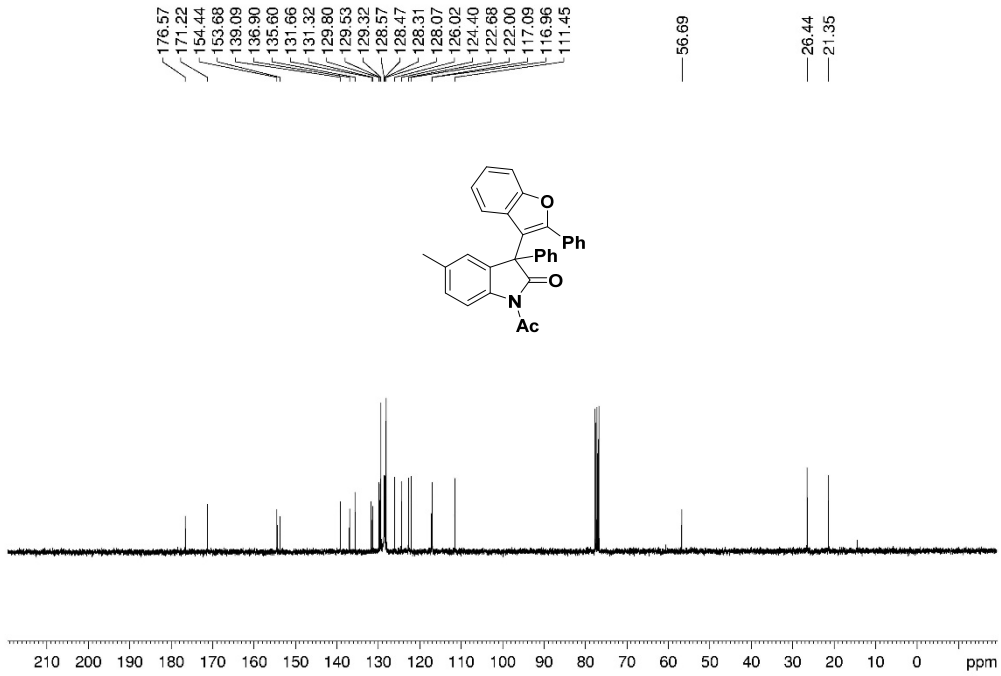
^{13}C NMR ($CDCl_3$, 25 ºC) of **3c**



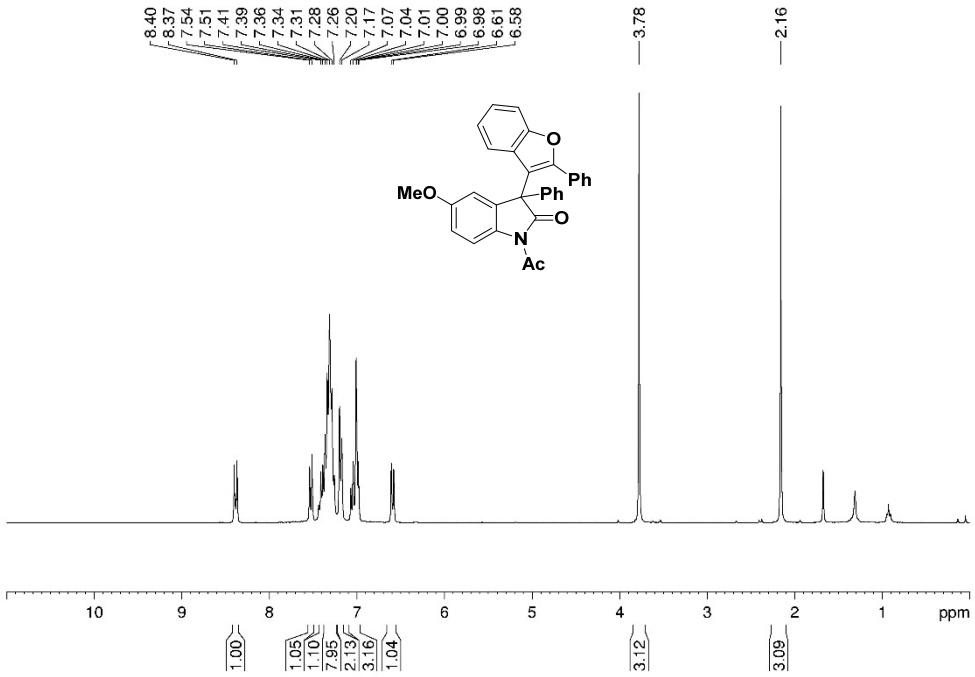
^{1}H NMR ($CDCl_3$, 25 ºC) of **3f**



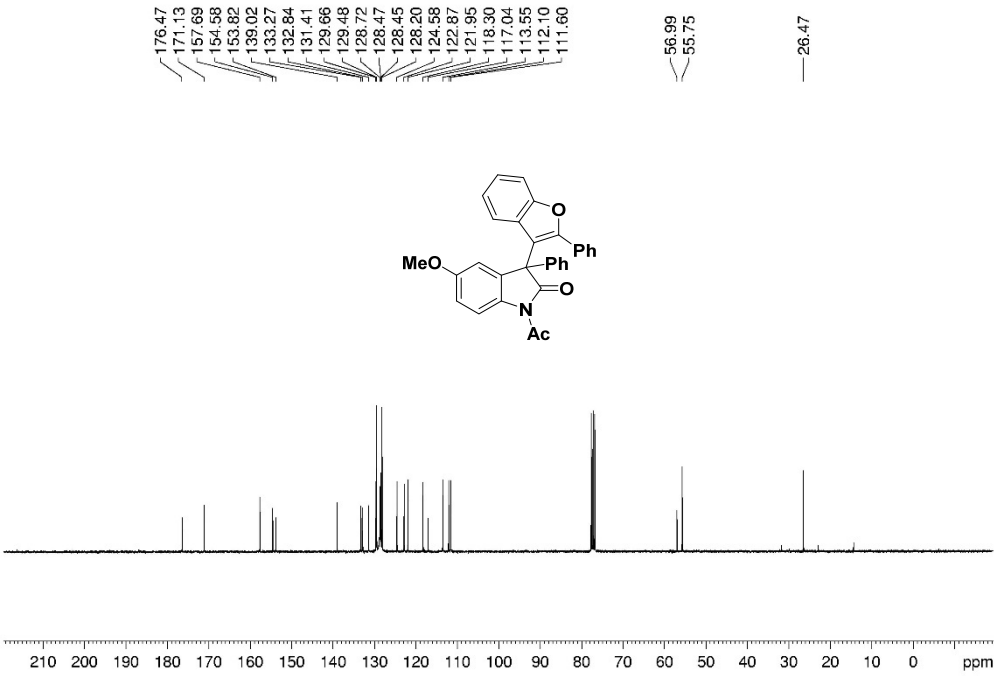
^{13}C NMR ($CDCl_3$, 25 ºC) of **3f**



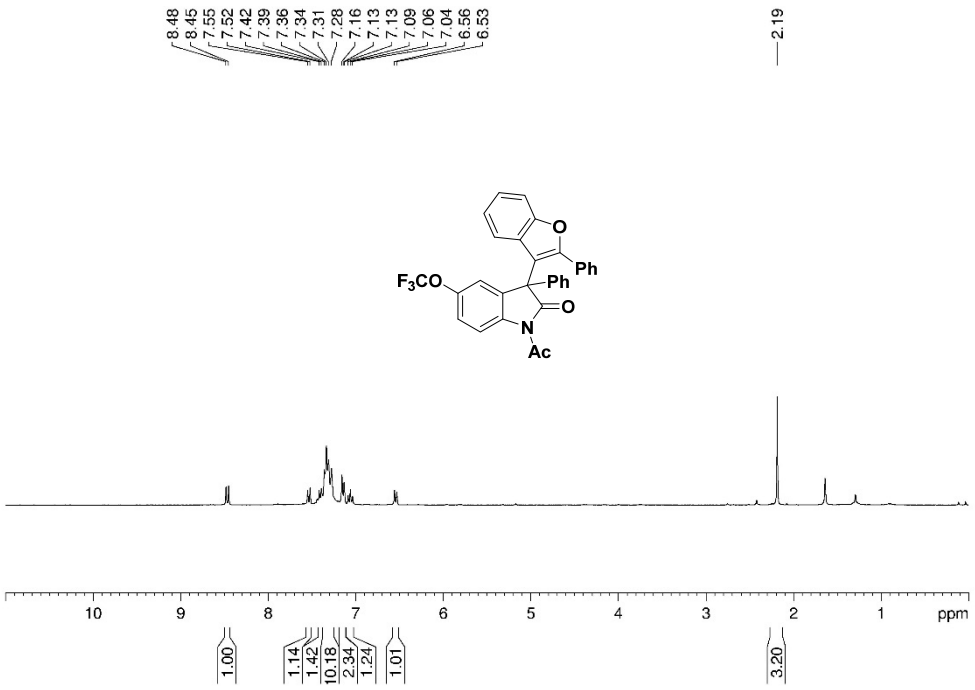
^{1}H NMR ($CDCl_3$, 25 ºC) of **3g**



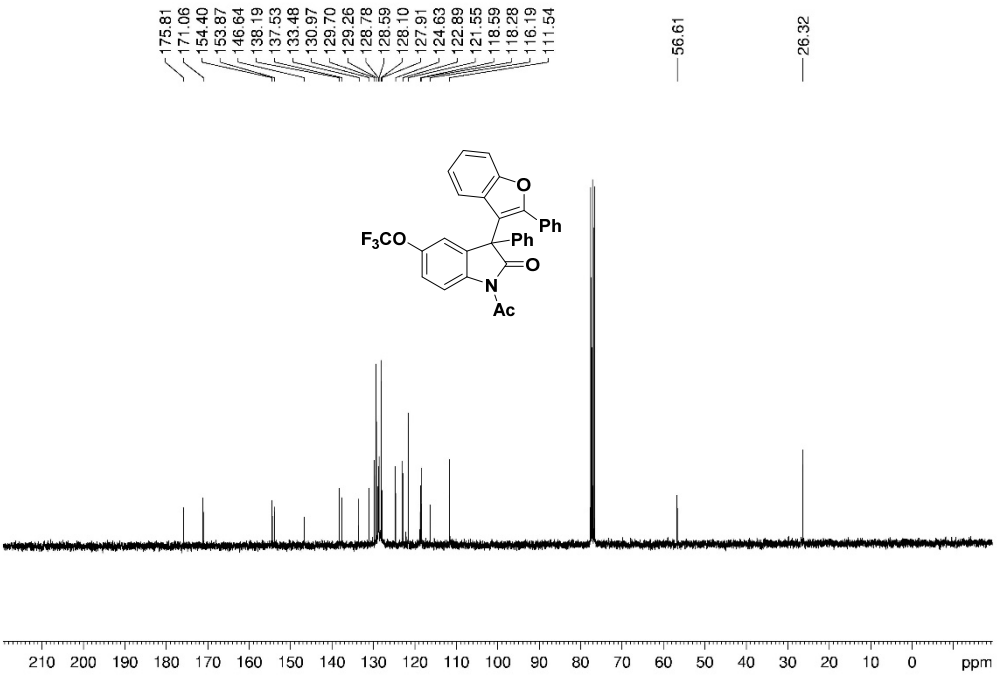
^{13}C NMR ($CDCl_3$, 25 ºC) of **3g**



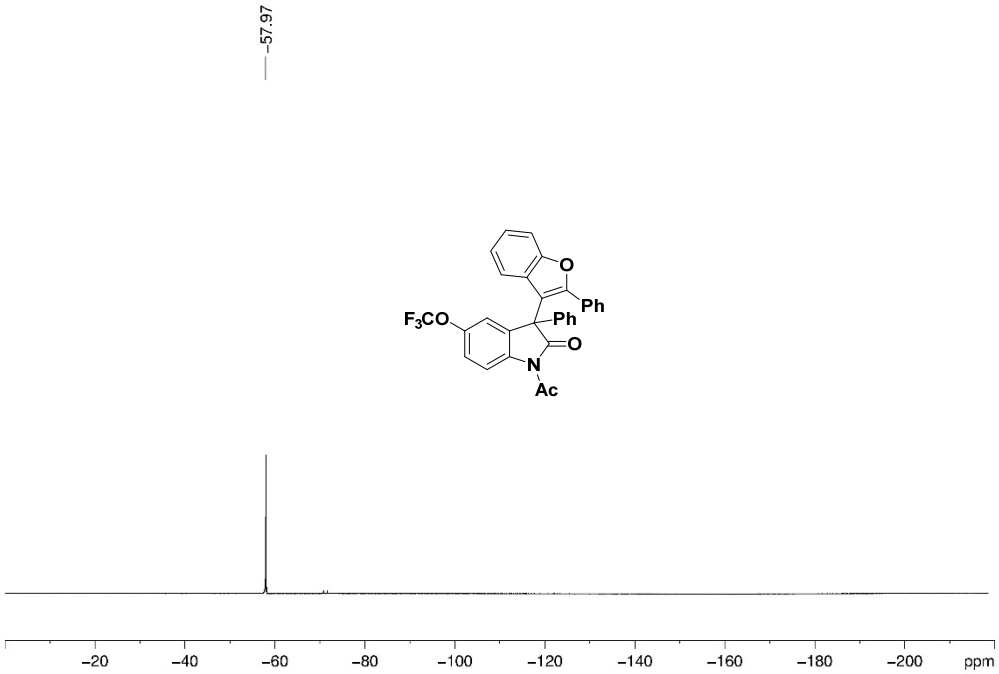
^{1}H NMR ($CDCl_3$, 25 ºC) of **3h**



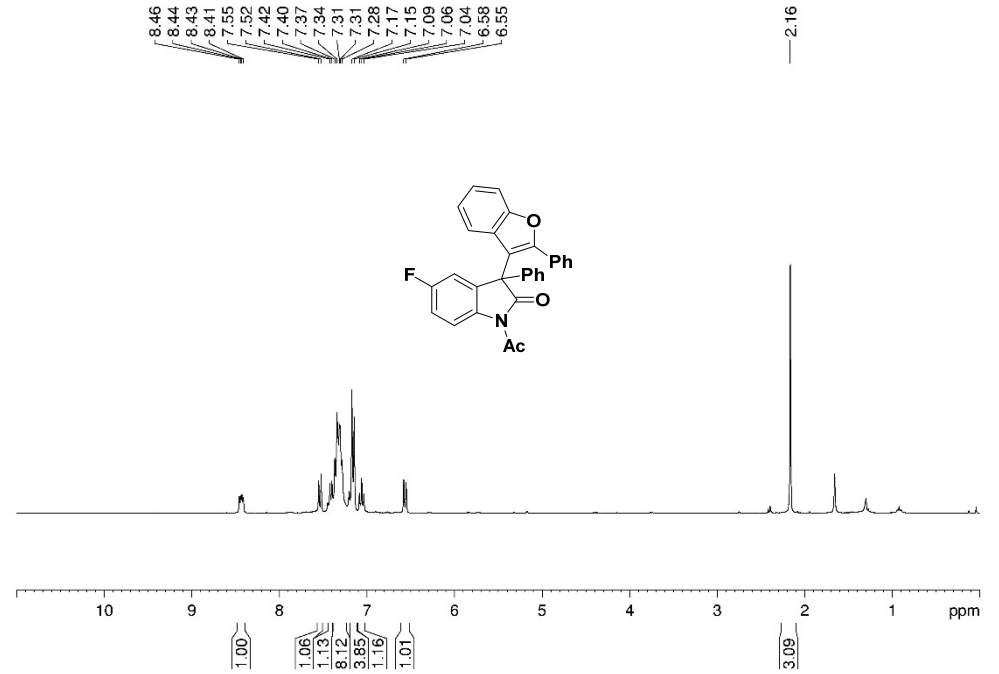
^{13}C NMR ($CDCl_3$, 25 ºC) of **3h**



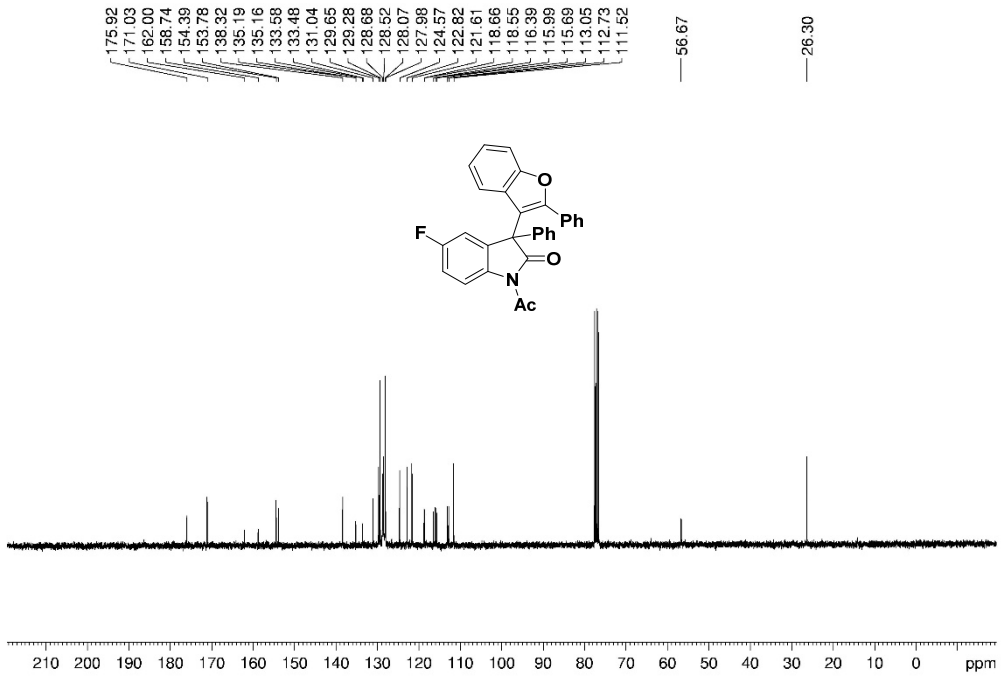
^{19}F NMR ($CDCl_3$, 25 ºC) of **3h**



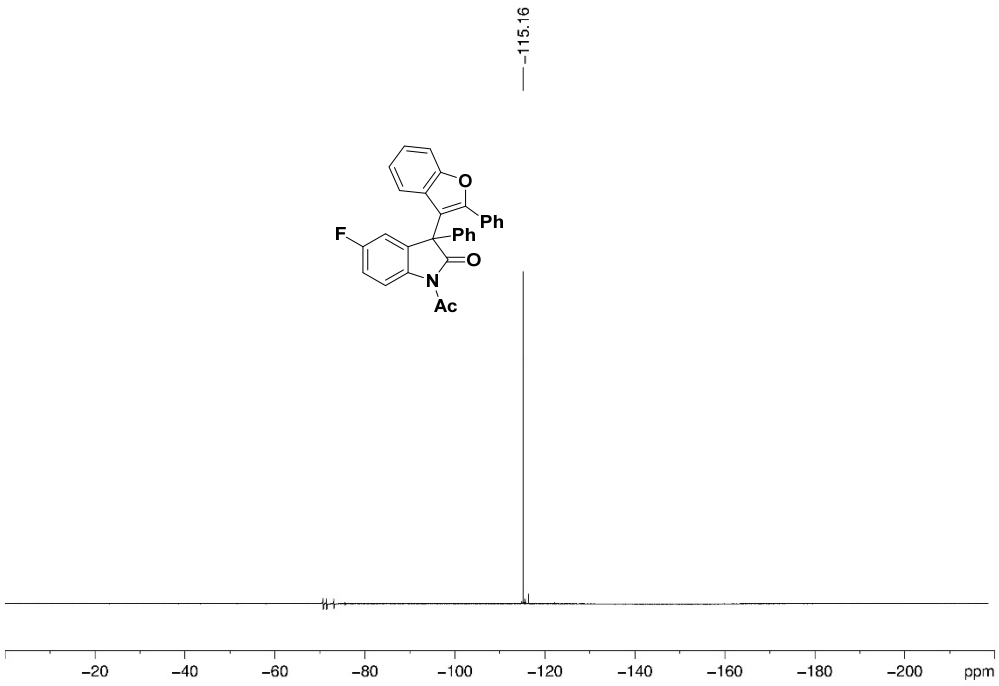
^{1}H NMR ($CDCl_3$, 25 ºC) of **3i**



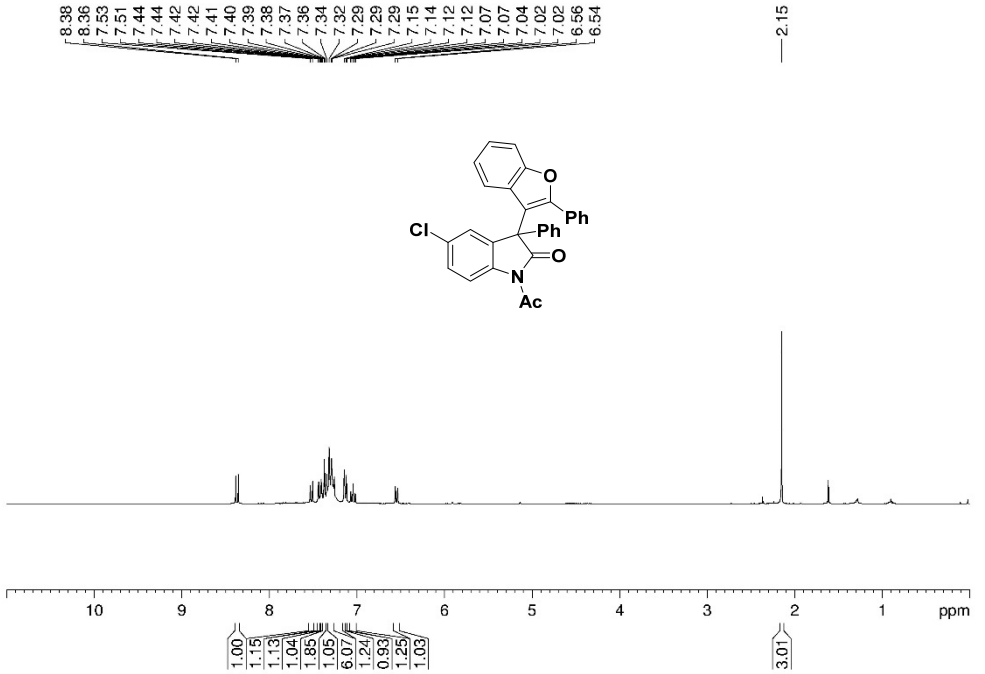
^{13}C NMR ($CDCl_3$, 25 ºC) of **3i**



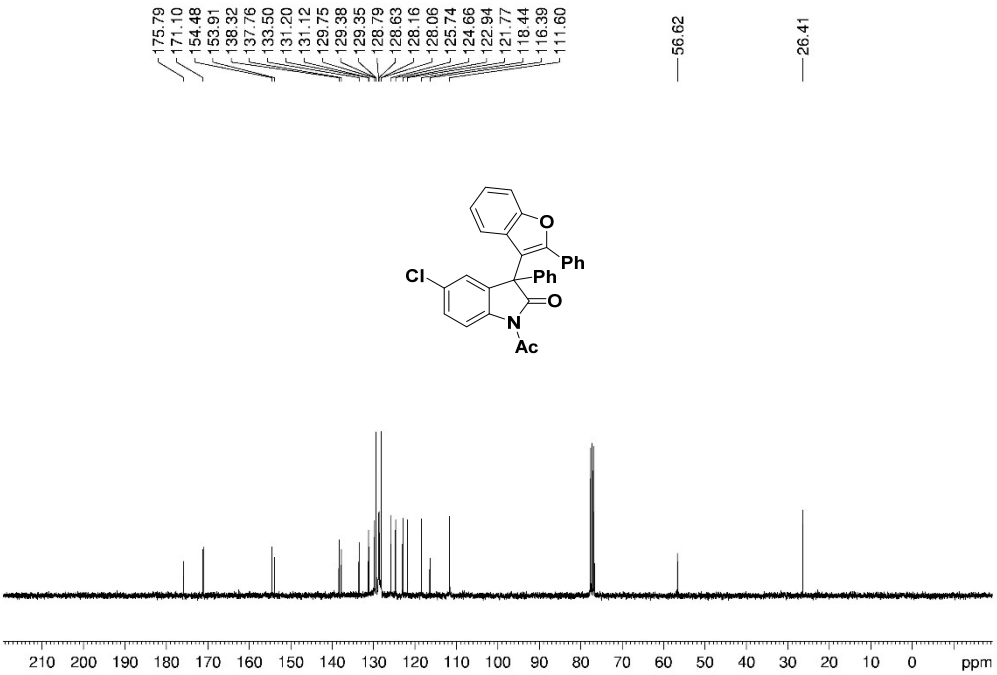
^{19}F NMR ($CDCl_3$, 25 ºC) of **3i**



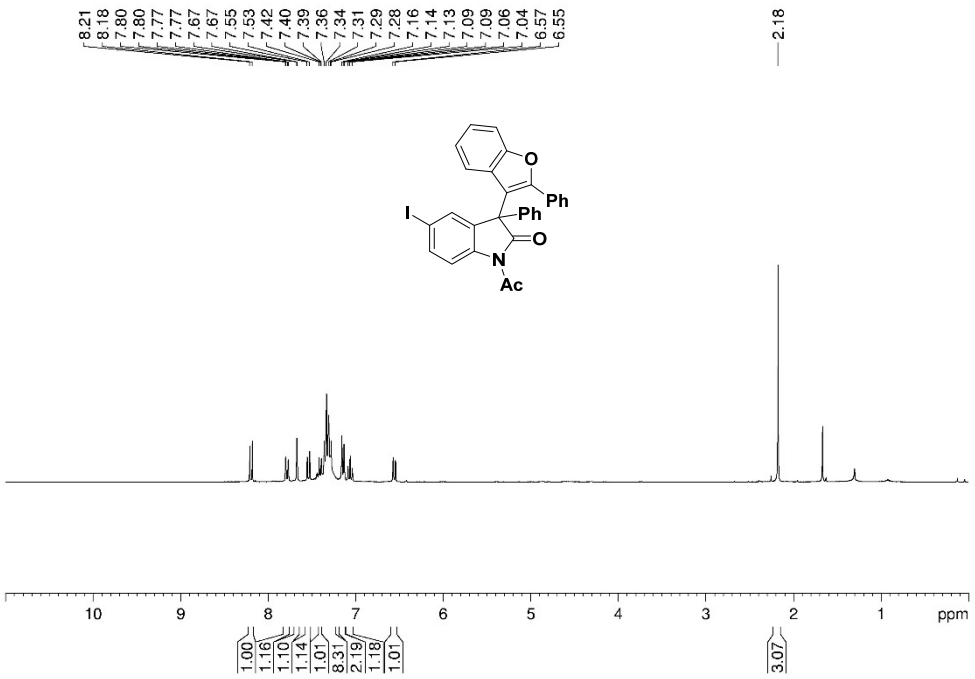
^{1}H NMR ($CDCl_3$, 25 ºC) of **3j**



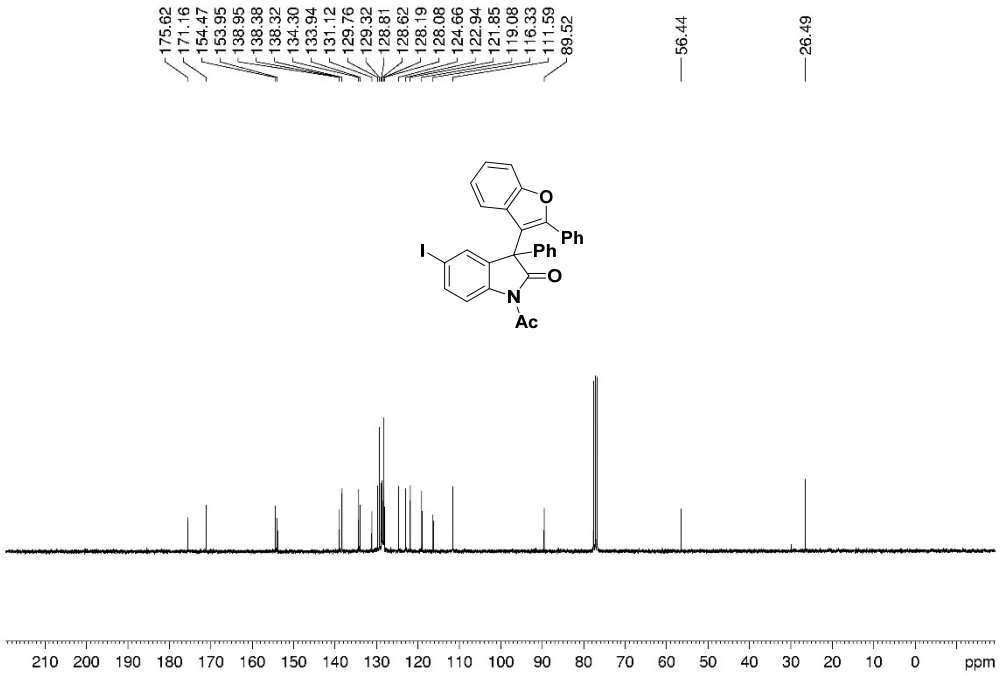
^{13}C NMR ($CDCl_3$, 25 ºC) of **3j**



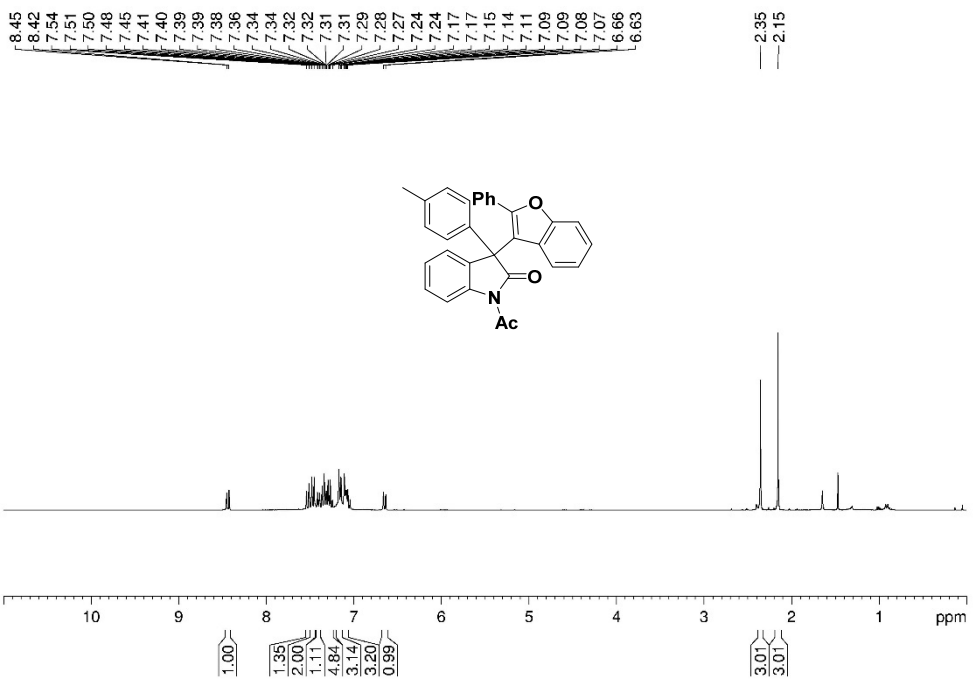
^{1}H NMR ($CDCl_3$, 25 ºC) of **3k**



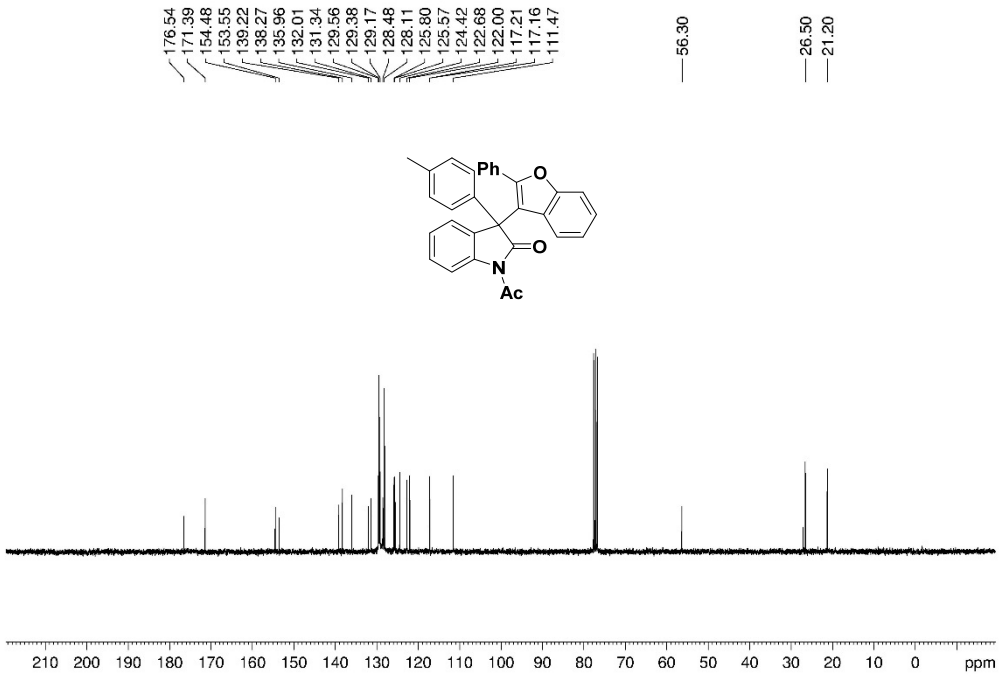
^{13}C NMR ($CDCl_3$, 25 ºC) of **3k**



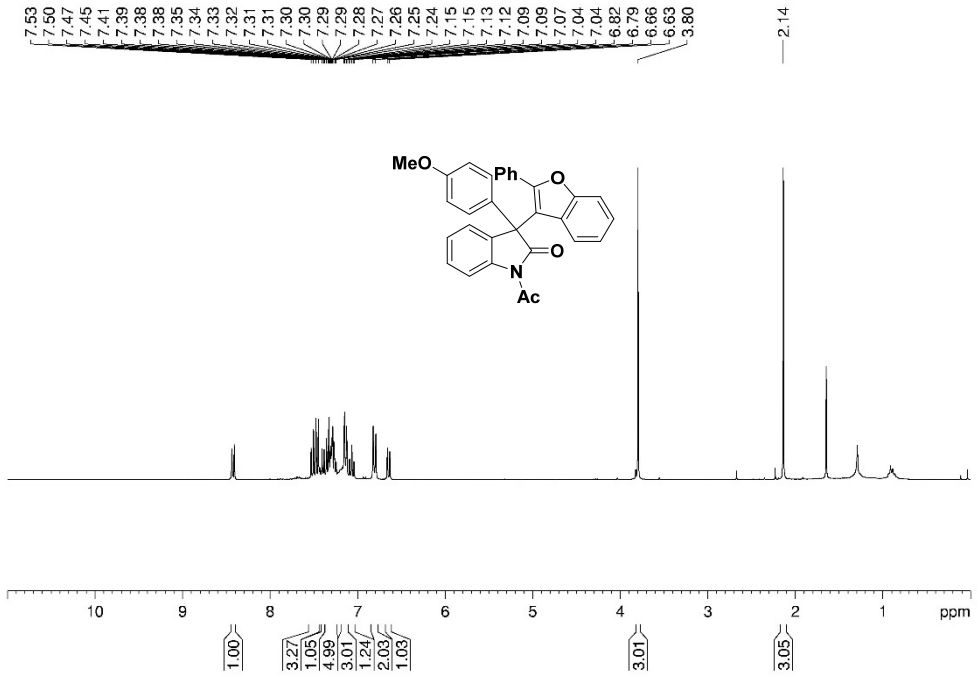
^{1}H NMR ($CDCl_3$, 25 ºC) of **3l**



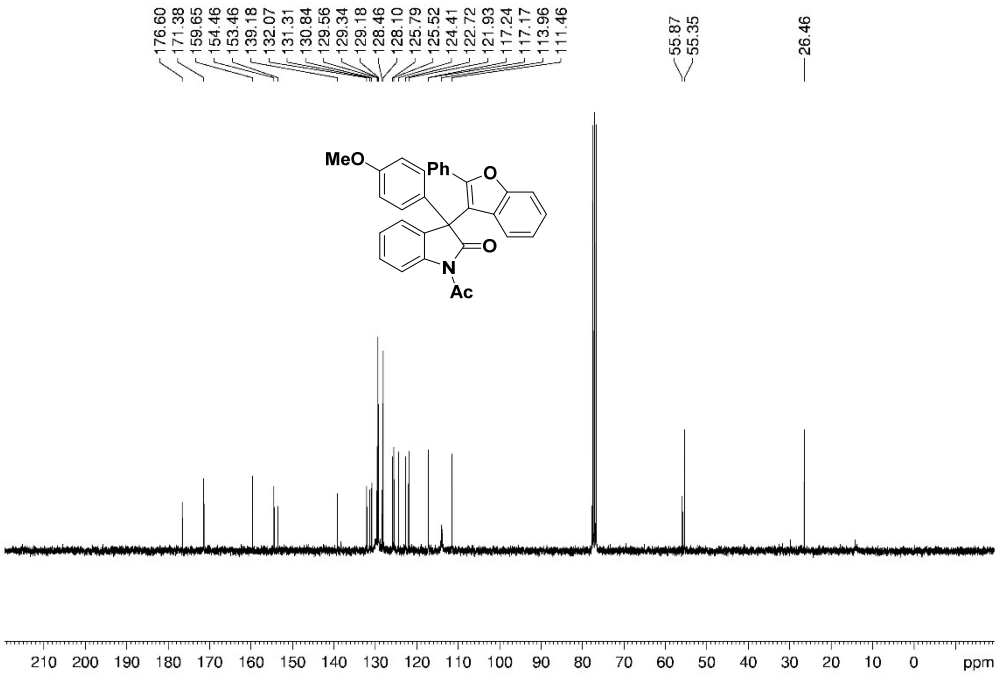
^{13}C NMR ($CDCl_3$, 25 ºC) of **3l**



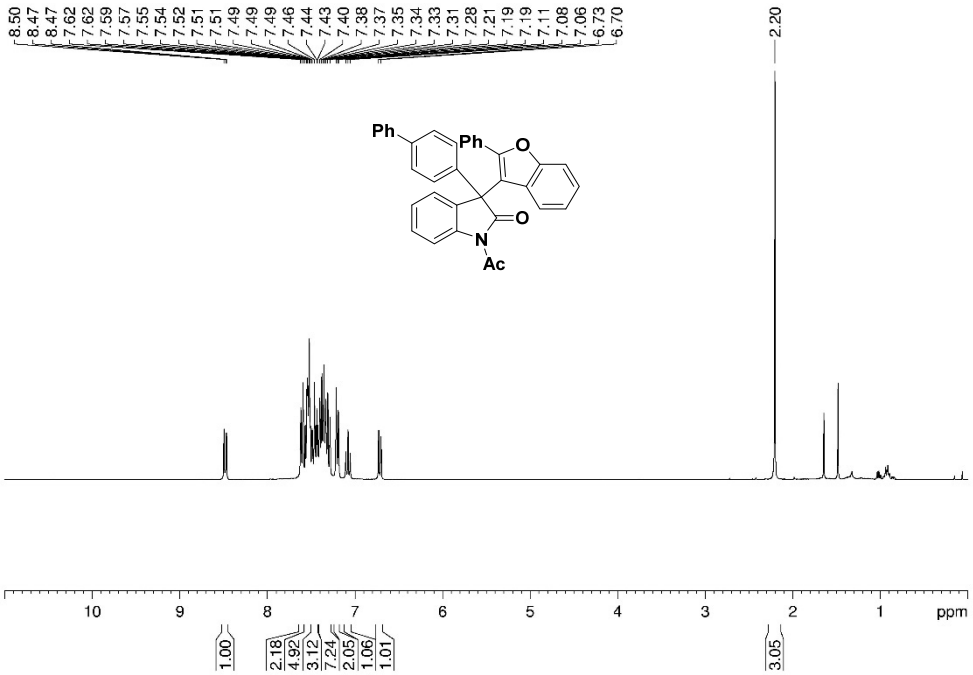
^{1}H NMR ($CDCl_3$, 25 ºC) of **3m**



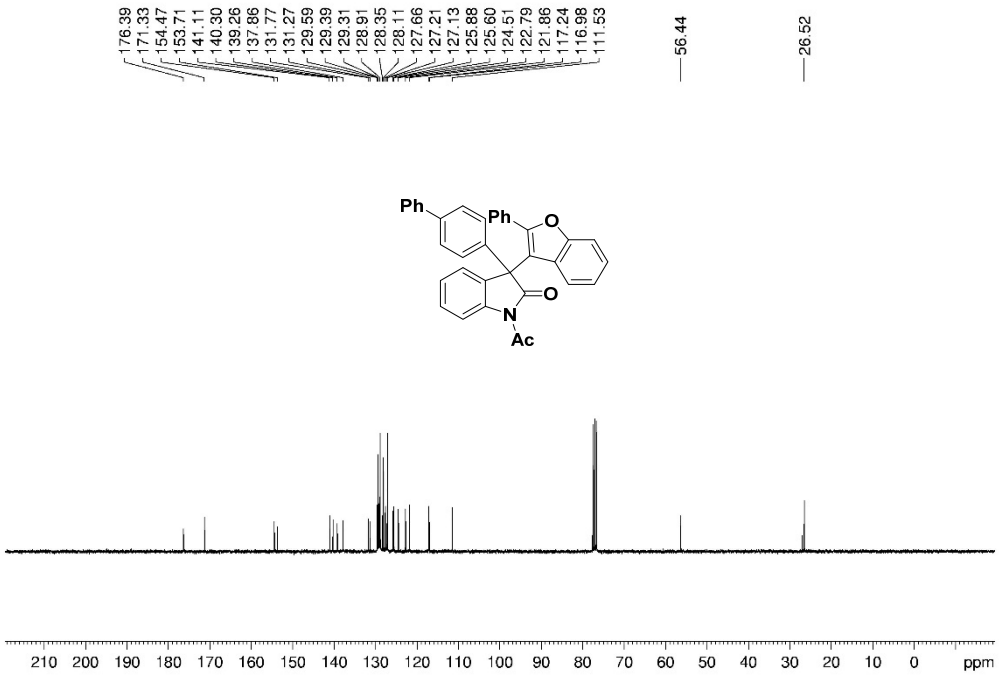
^{13}C NMR ($CDCl_3$, 25 ºC) of **3m**



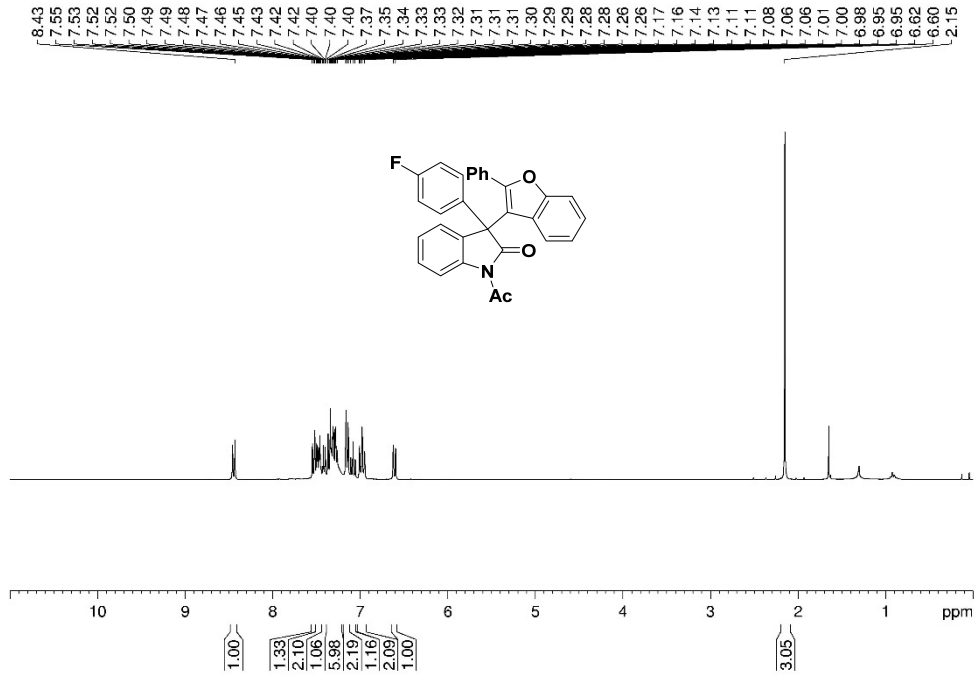
^{1}H NMR ($CDCl_3$, 25 ºC) of **3n**



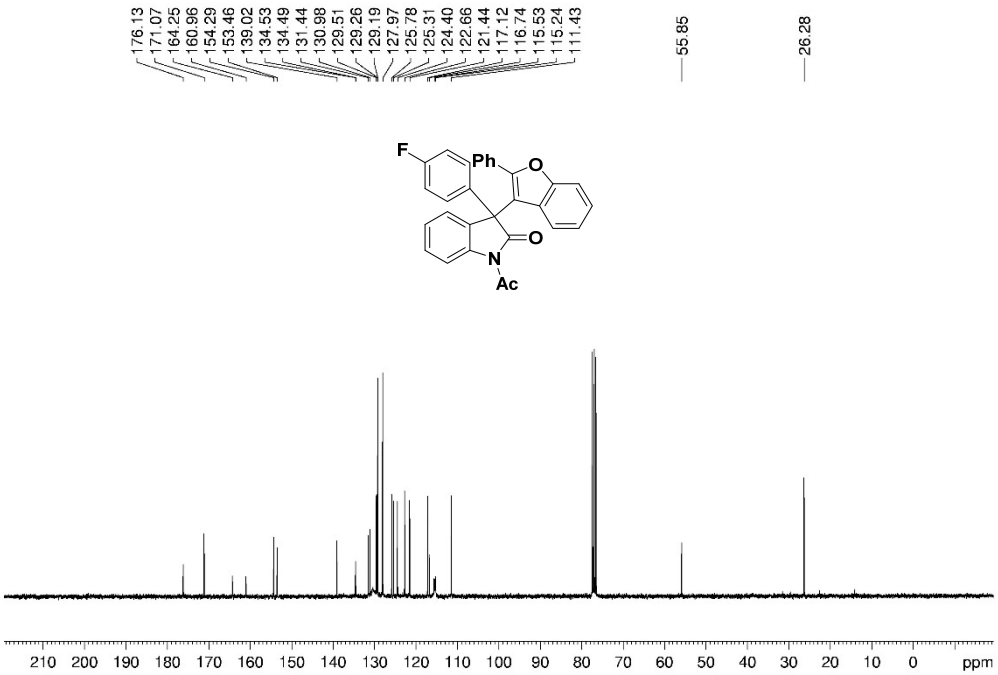
^{13}C NMR ($CDCl_3$, 25 ºC) of **3n**



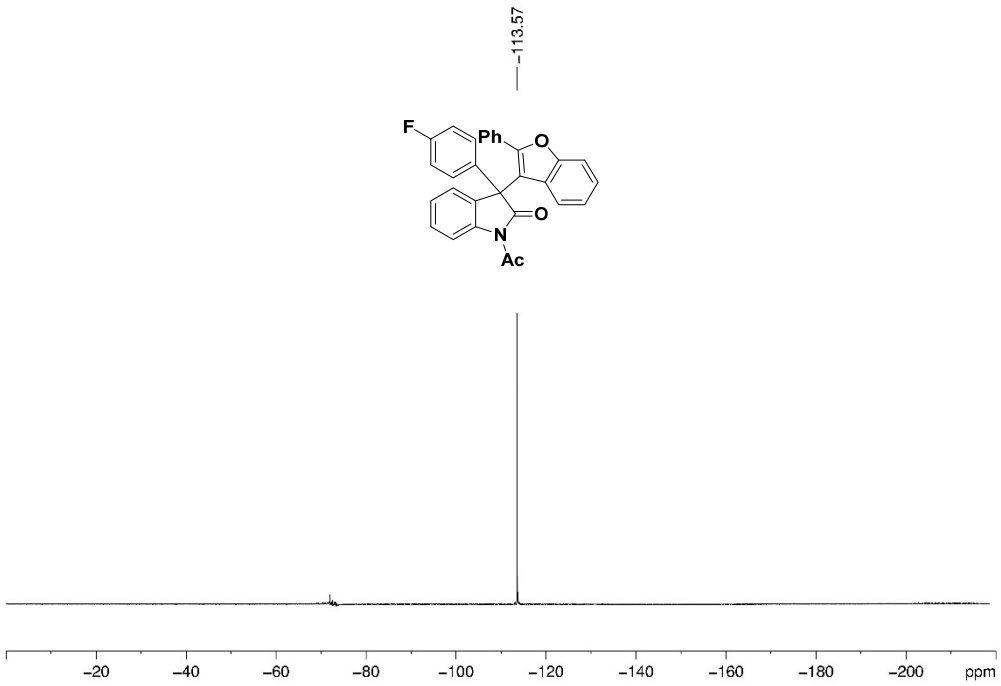
^{1}H NMR ($CDCl_3$, 25 ºC) of **3o**



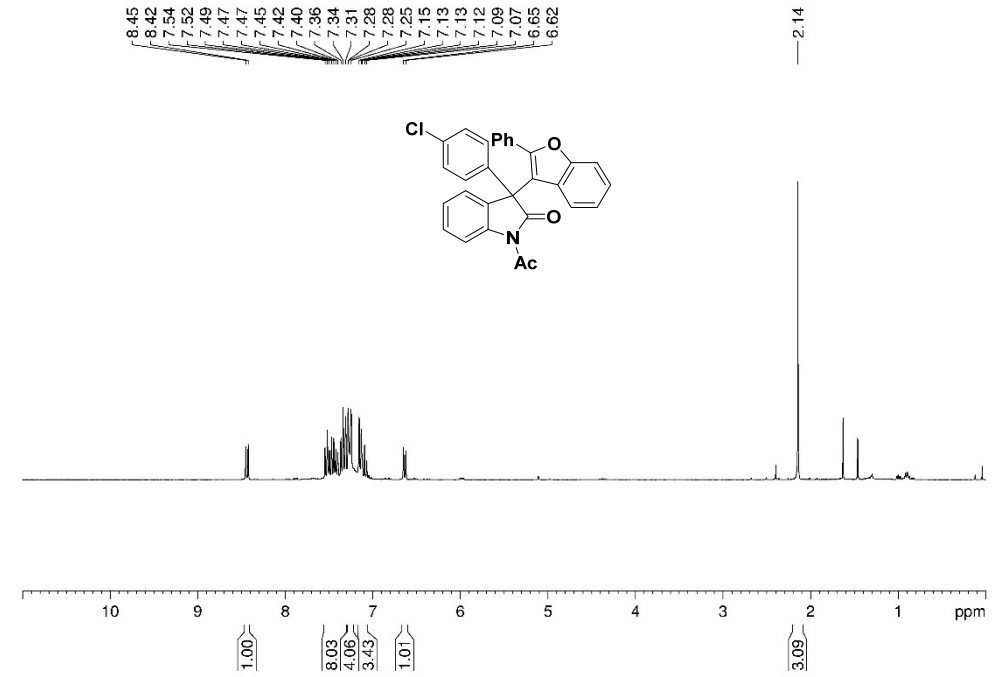
^{13}C NMR ($CDCl_3$, 25 ºC) of **3o**



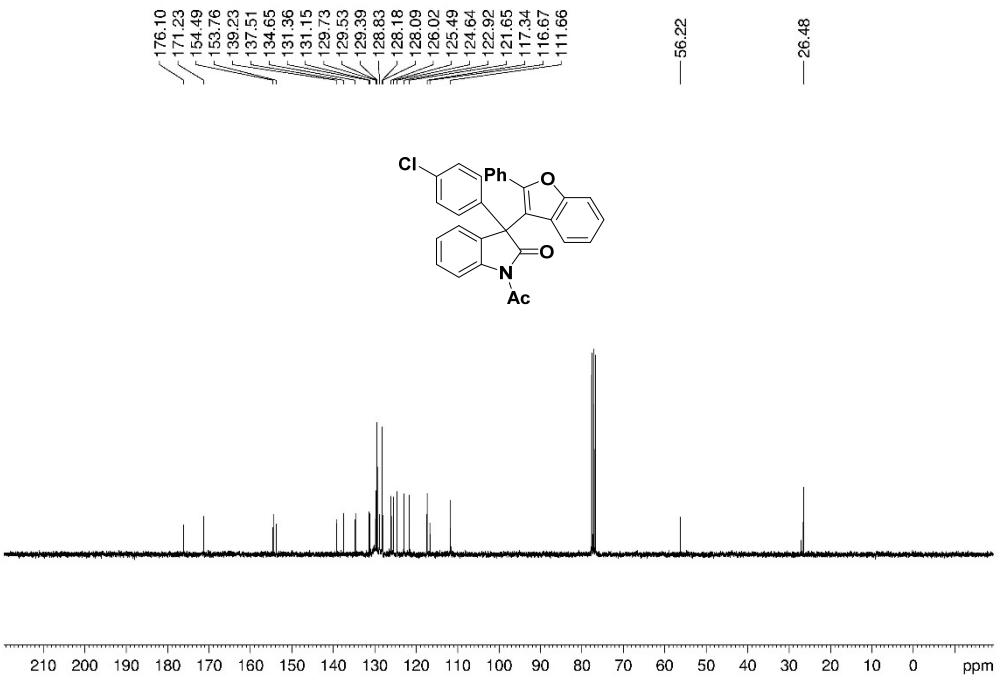
^{19}F NMR ($CDCl_3$, 25 ºC) of **3o**



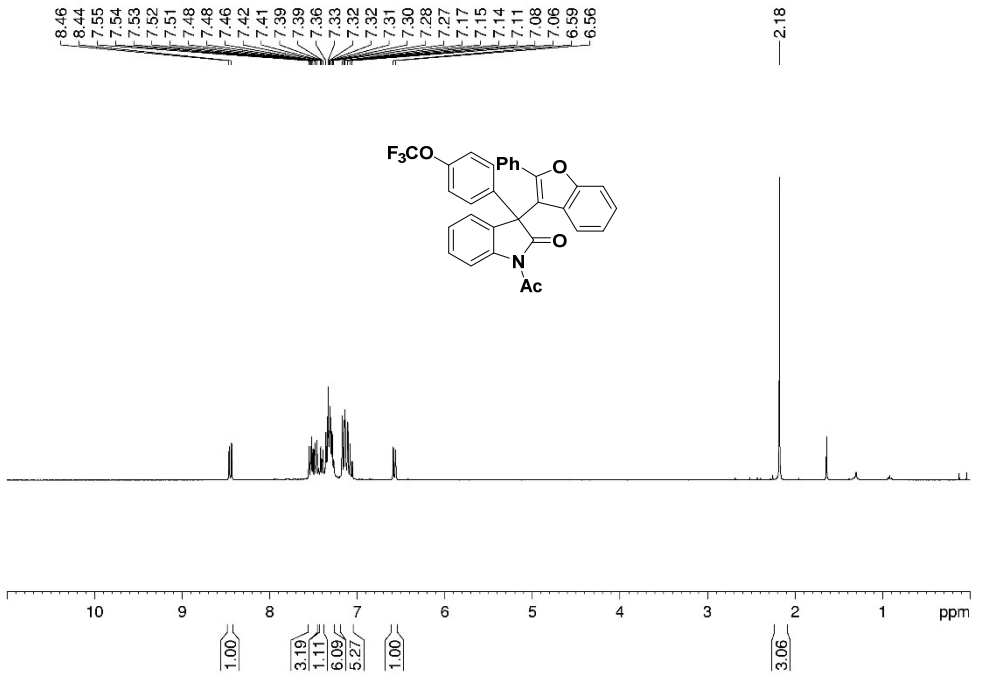
^{1}H NMR ($CDCl_3$, 25 ºC) of **3p**



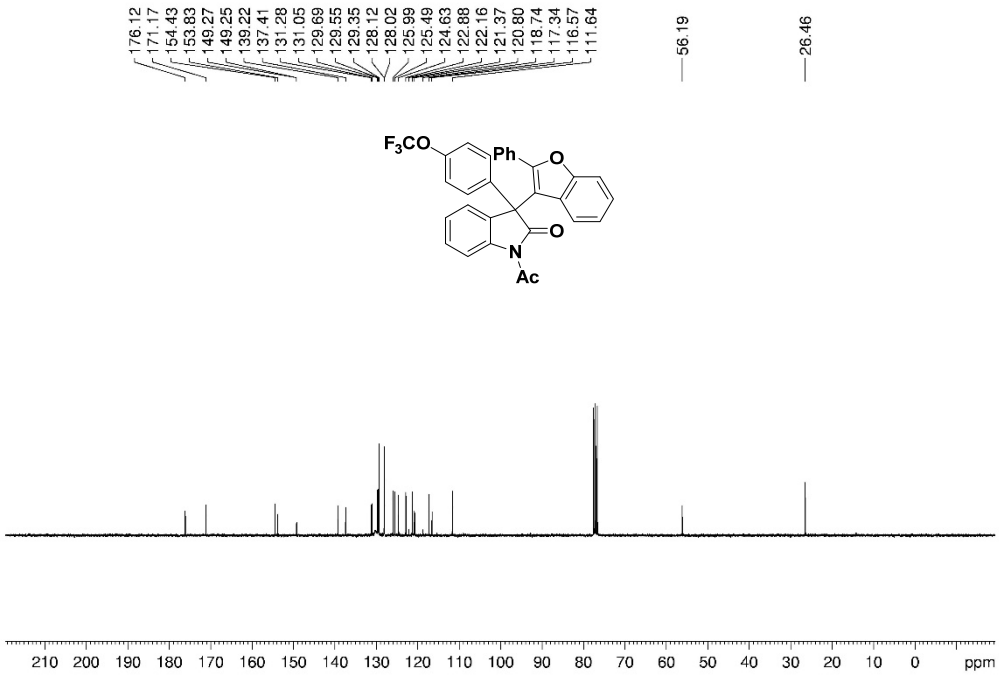
^{13}C NMR ($CDCl_3$, 25 ºC) of **3p**



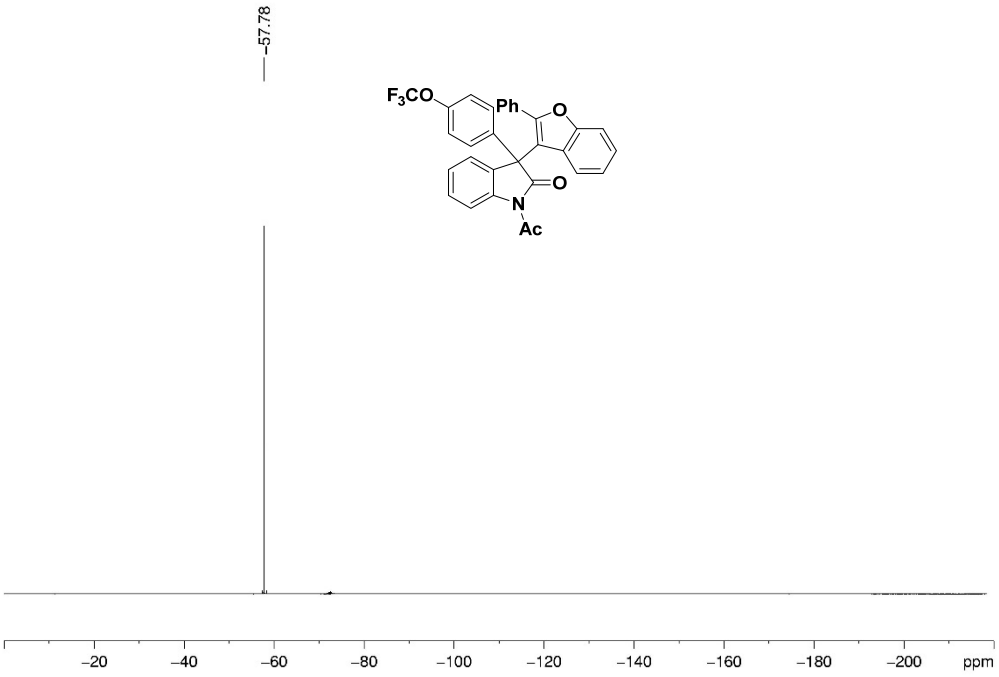
^{1}H NMR ($CDCl_3$, 25 ºC) of **3q**



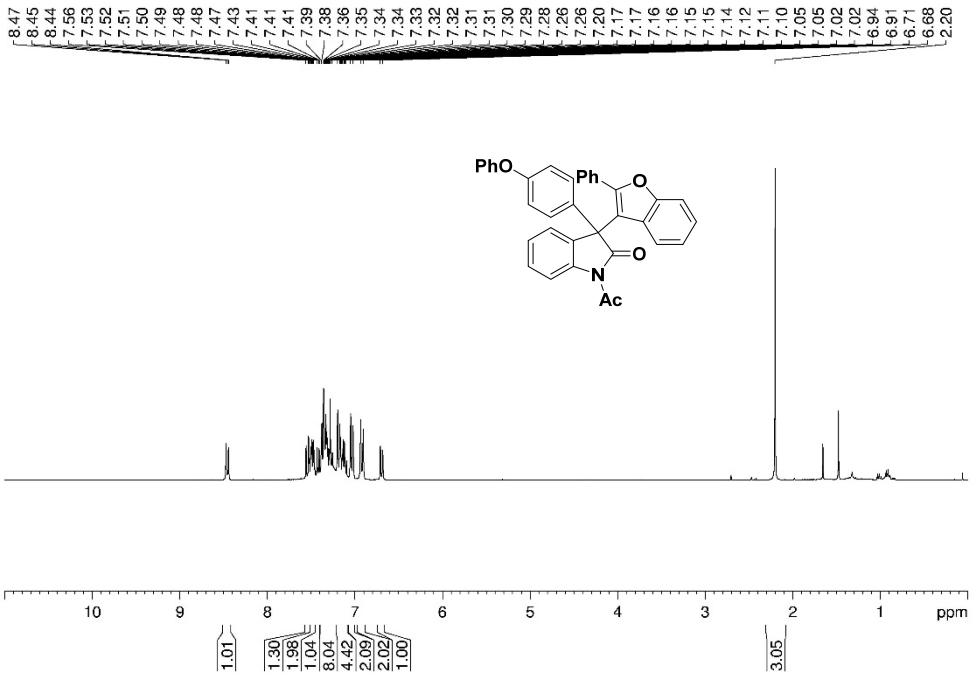
^{13}C NMR ($CDCl_3$, 25 ºC) of **3q**



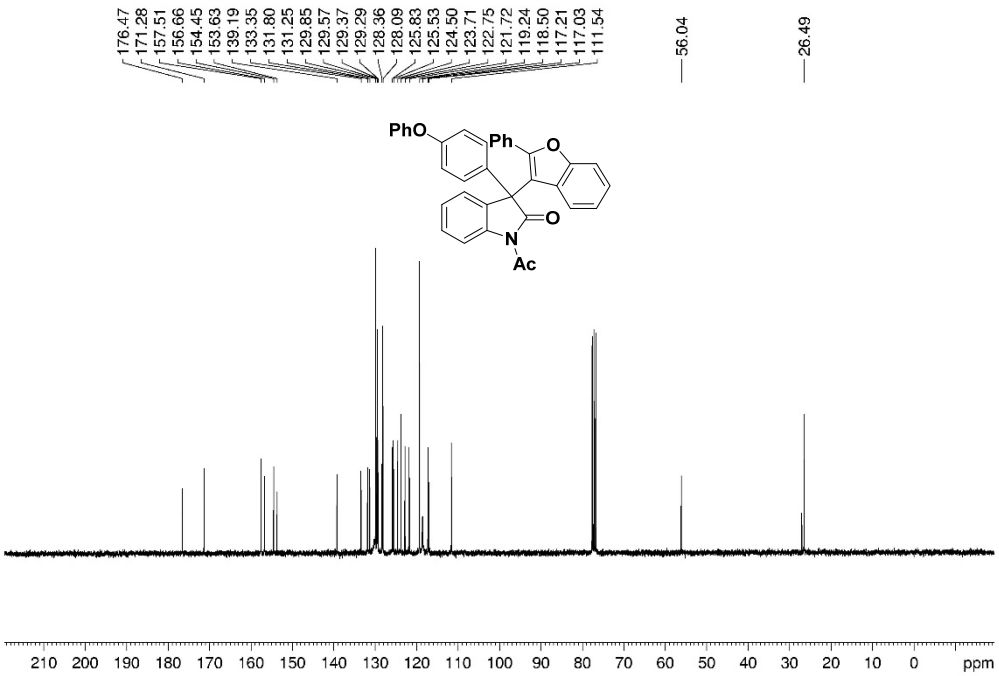
^{19}f NMR ($CDCl_3$, 25 ºC) of **3q**



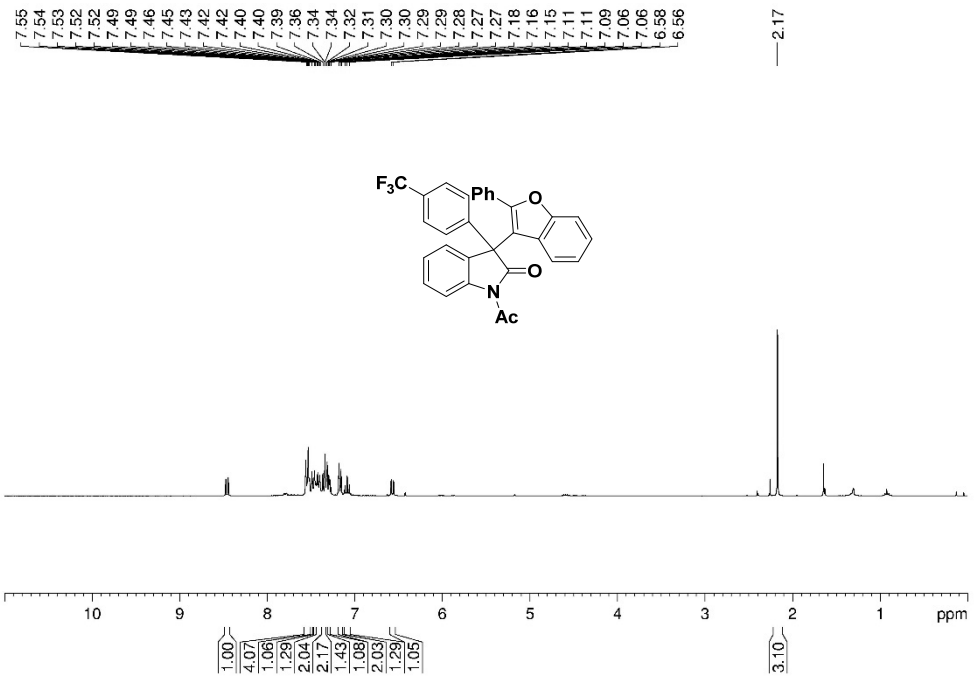
^{1}H NMR ($CDCl_3$, 25 ºC) of **3r**



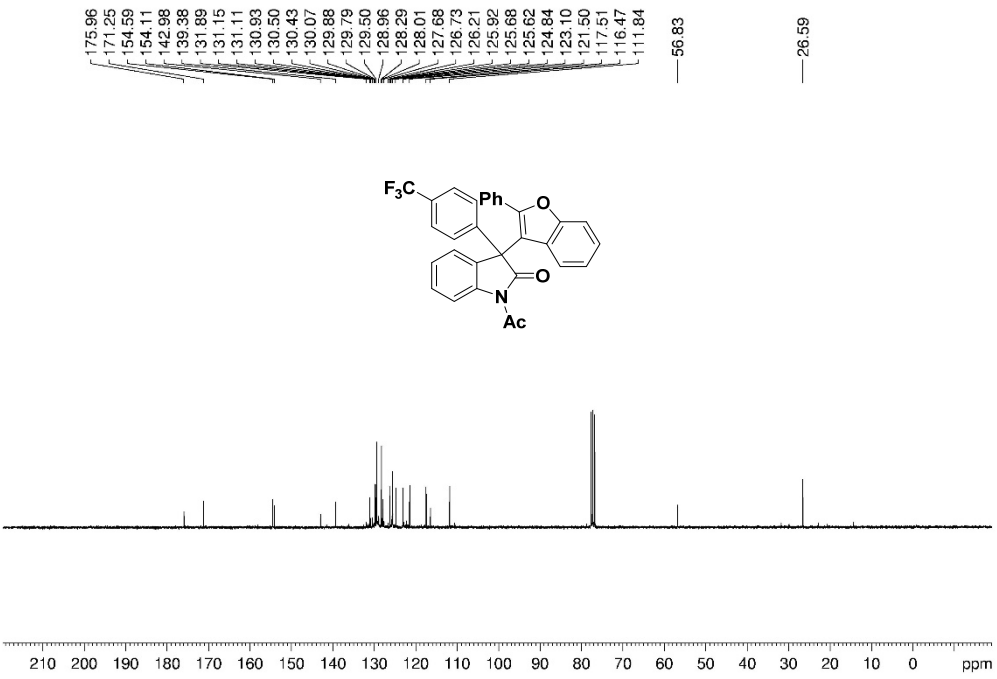
^{13}C NMR ($CDCl_3$, 25 ºC) of **3r**



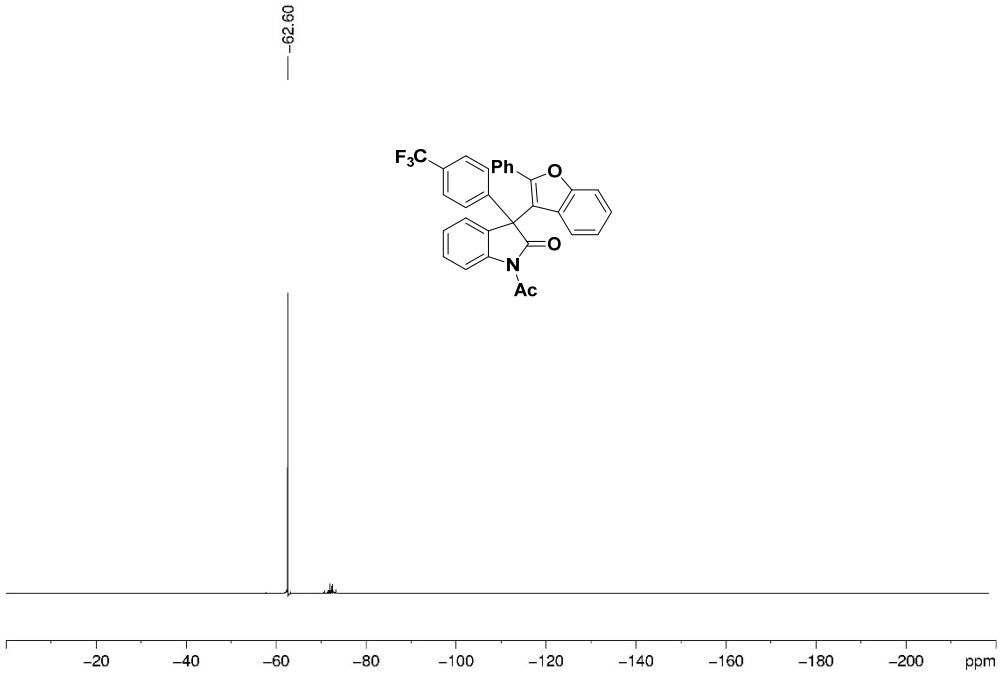
^{1}H NMR ($CDCl_3$, 25 ºC) of **3s**



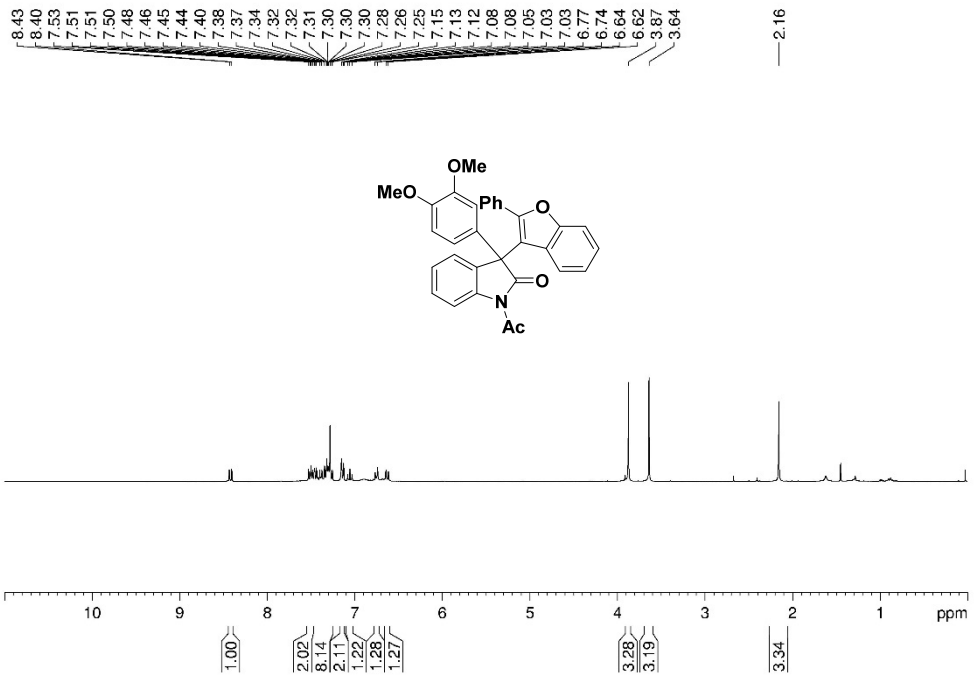
^{13}C NMR ($CDCl_3$, 25 ºC) of **3s**



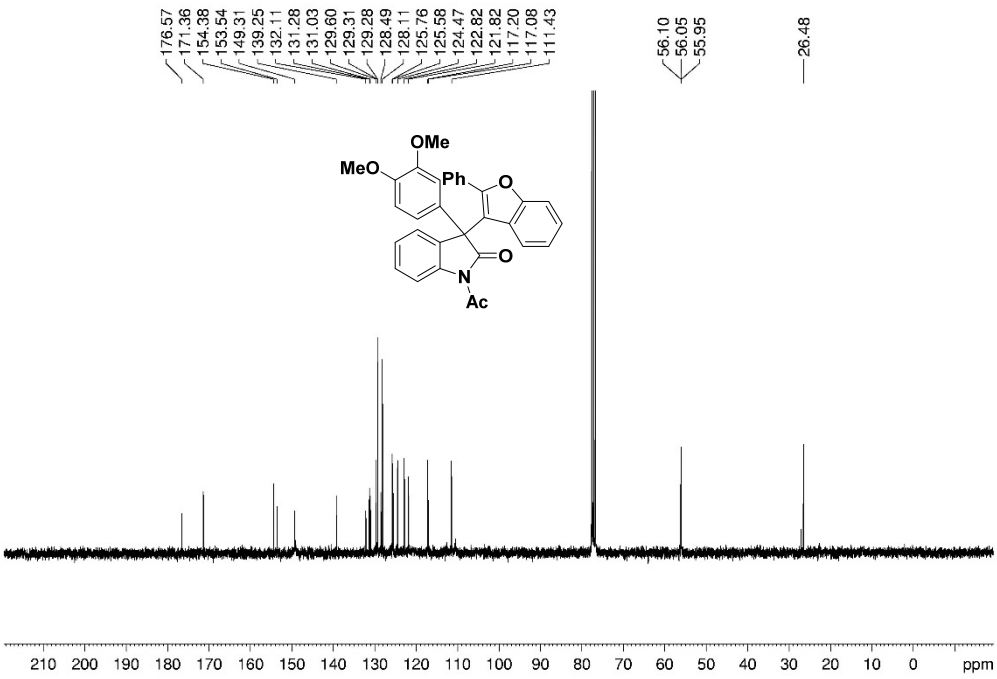
^{19}F NMR ($CDCl_3$, 25 ºC) of **3s**



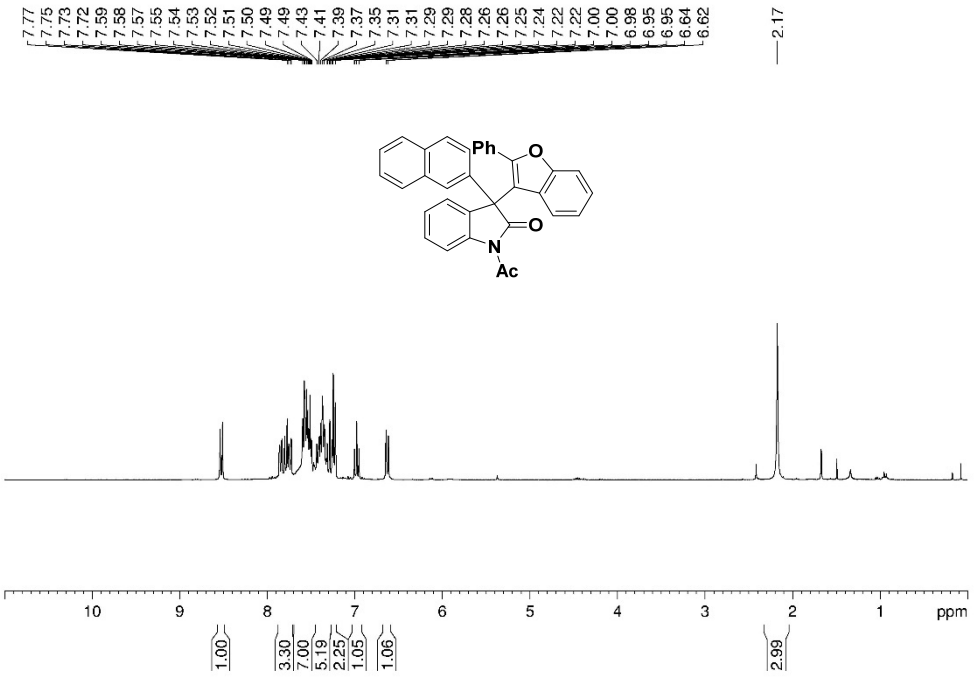
^{1}H NMR ($CDCl_3$, 25 ºC) of **3t**



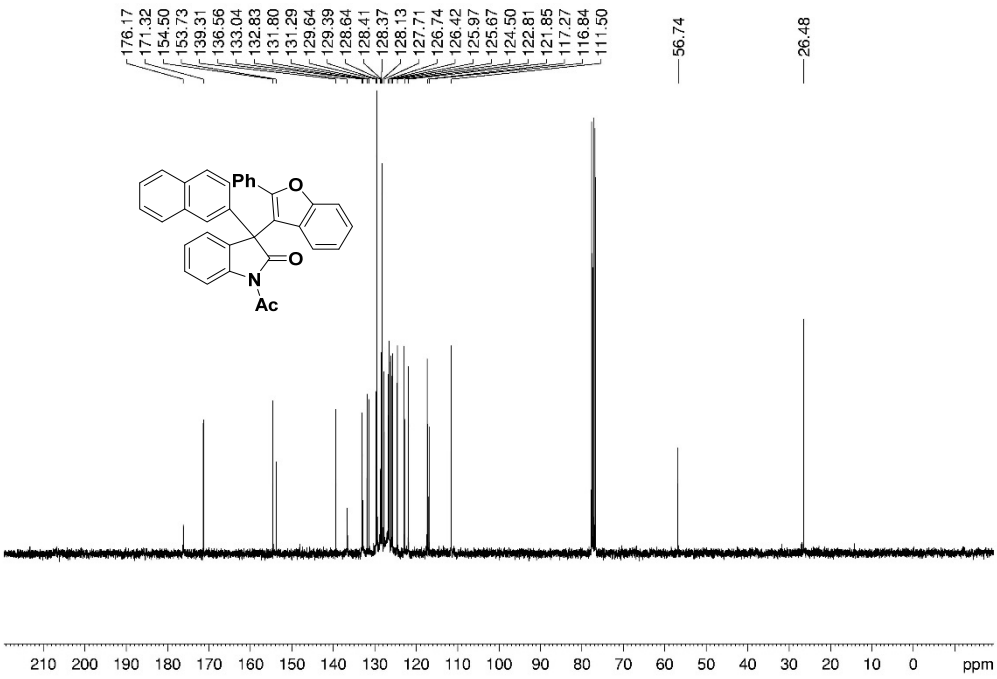
^{13}C NMR ($CDCl_3$, 25 ºC) of **3t**



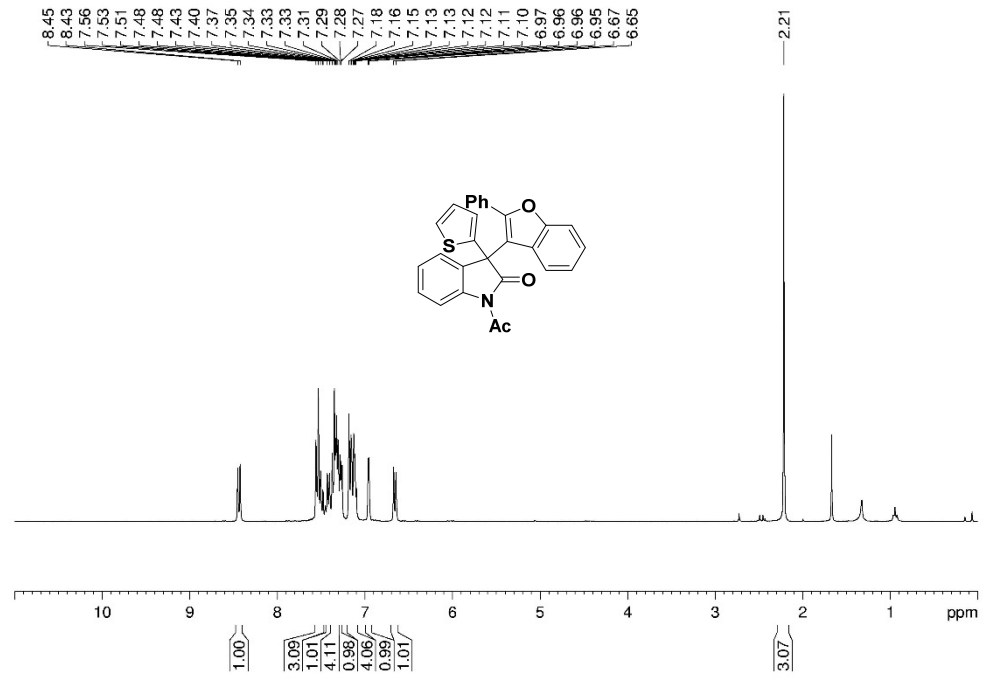
^{1}H NMR ($CDCl_3$, 25 ºC) of **3u**



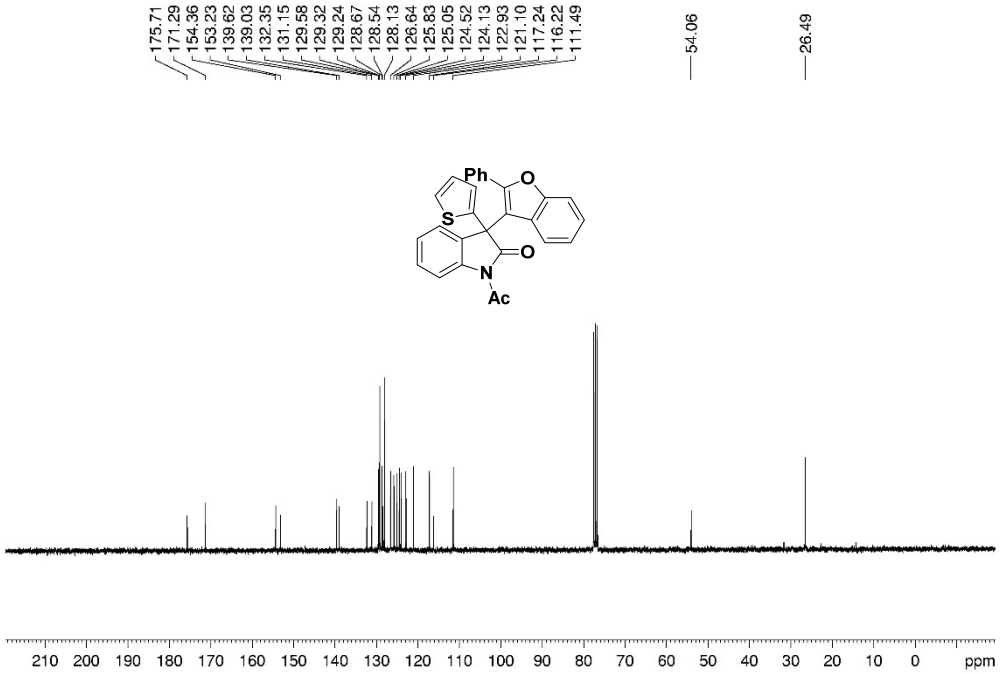
^{13}C NMR ($CDCl_3$, 25 ºC) of **3u**



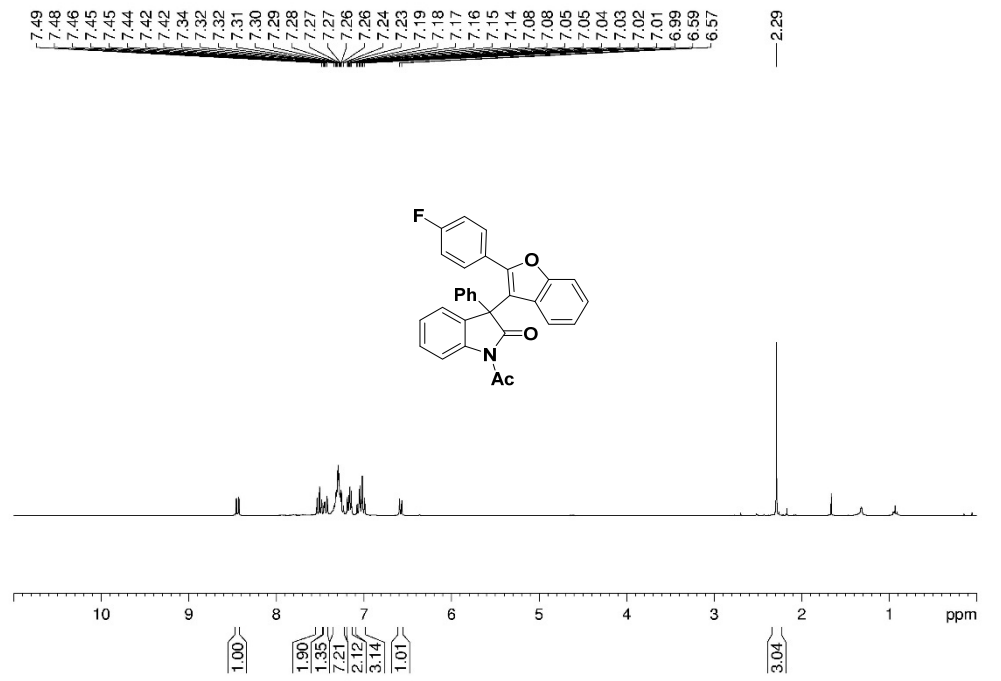
^{1}H NMR ($CDCl_3$, 25 ºC) of **3v**



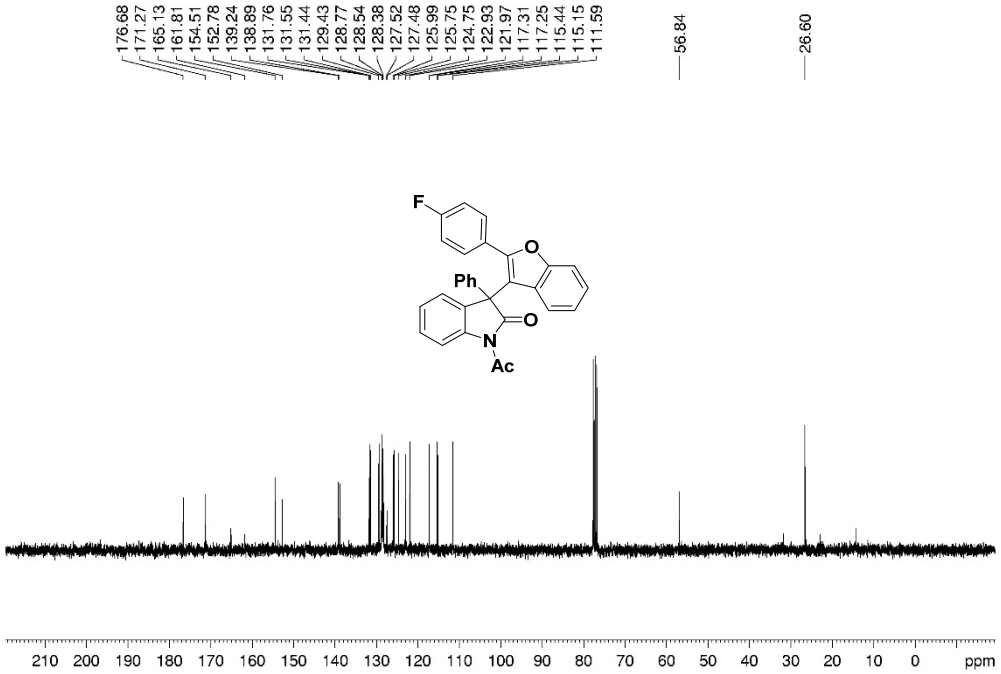
^{13}C NMR ($CDCl_3$, 25 ºC) of **3v**



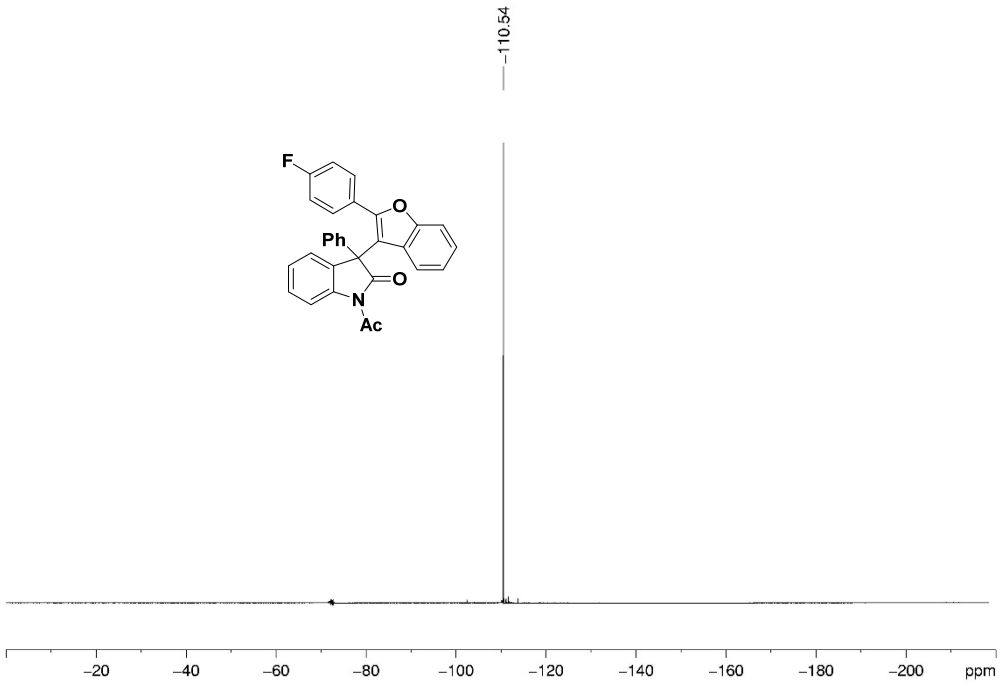
^{1}H NMR ($CDCl_3$, 25 ºC) of **3w**



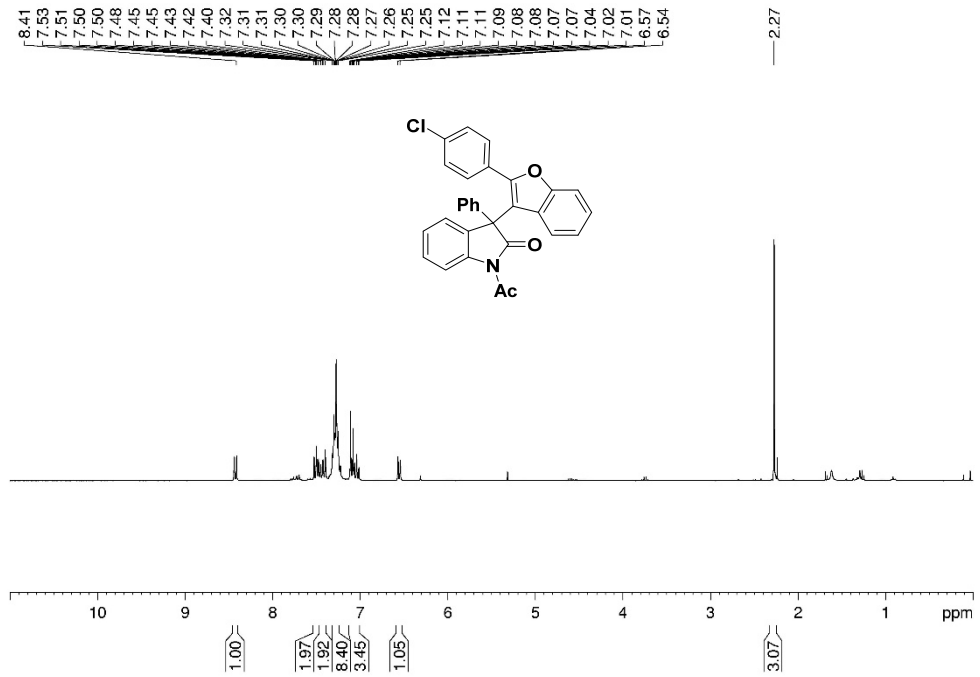
^{13}C NMR ($CDCl_3$, 25 ºC) of **3w**



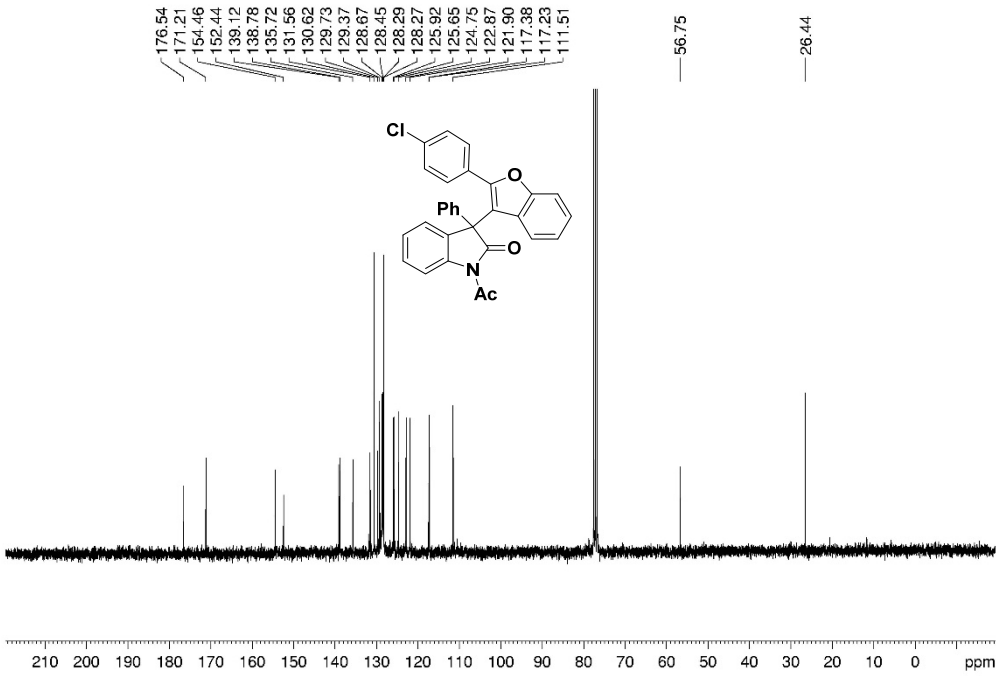
^{19}F NMR ($CDCl_3$, 25 ºC) of **3w**



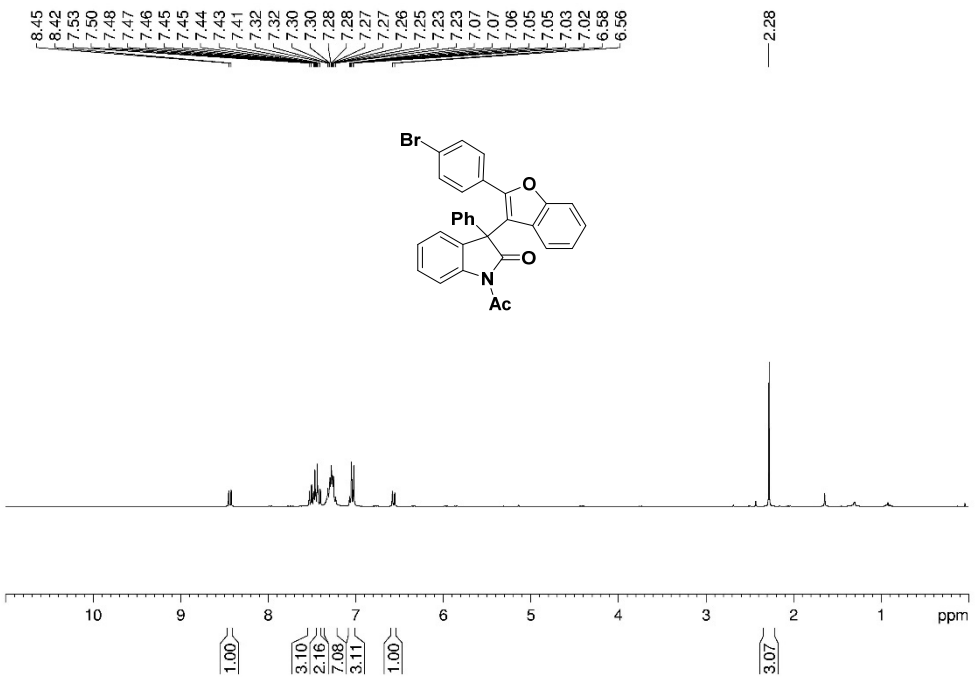
^{1}H NMR ($CDCl_3$, 25 ºC) of **3x**



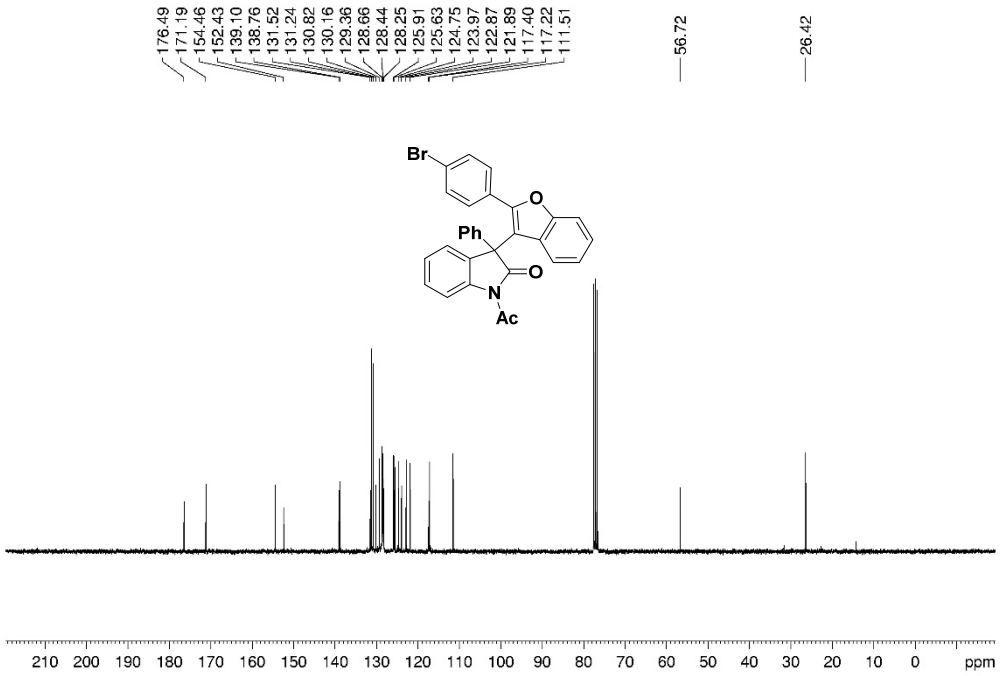
^{13}C NMR ($CDCl_3$, 25 ºC) of **3x**



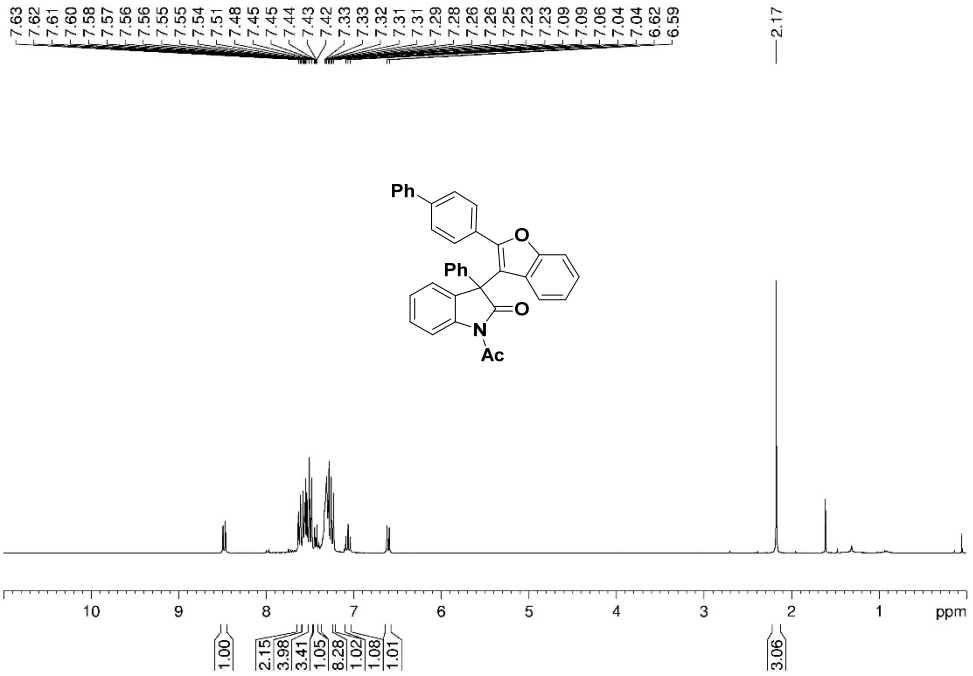
^{1}H NMR ($CDCl_3$, 25 ºC) of **3y**



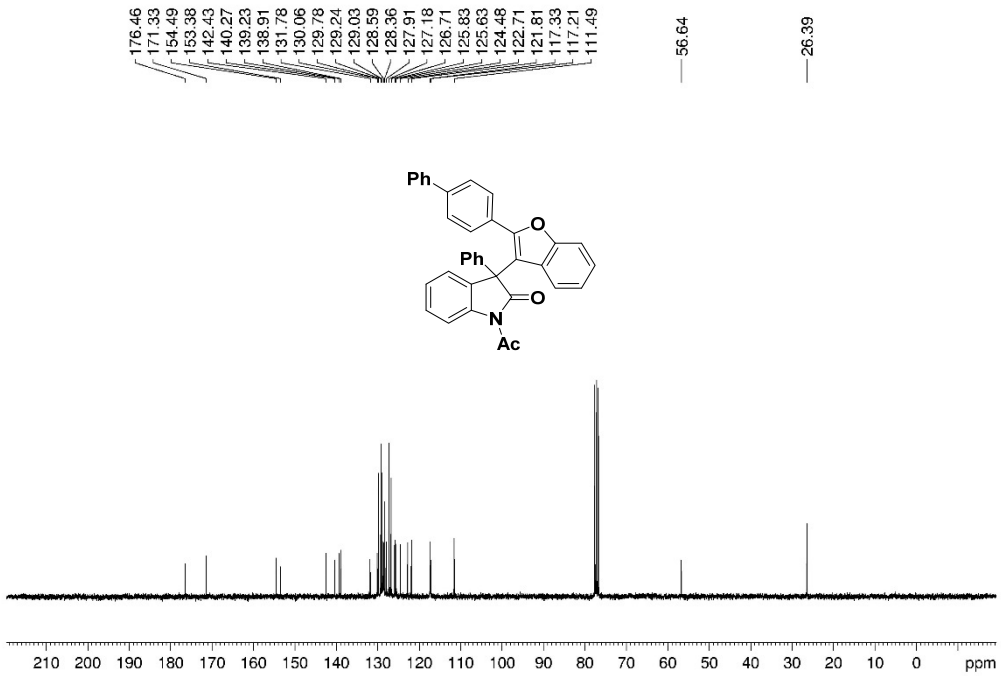
^{13}C NMR ($CDCl_3$, 25 ºC) of **3y**



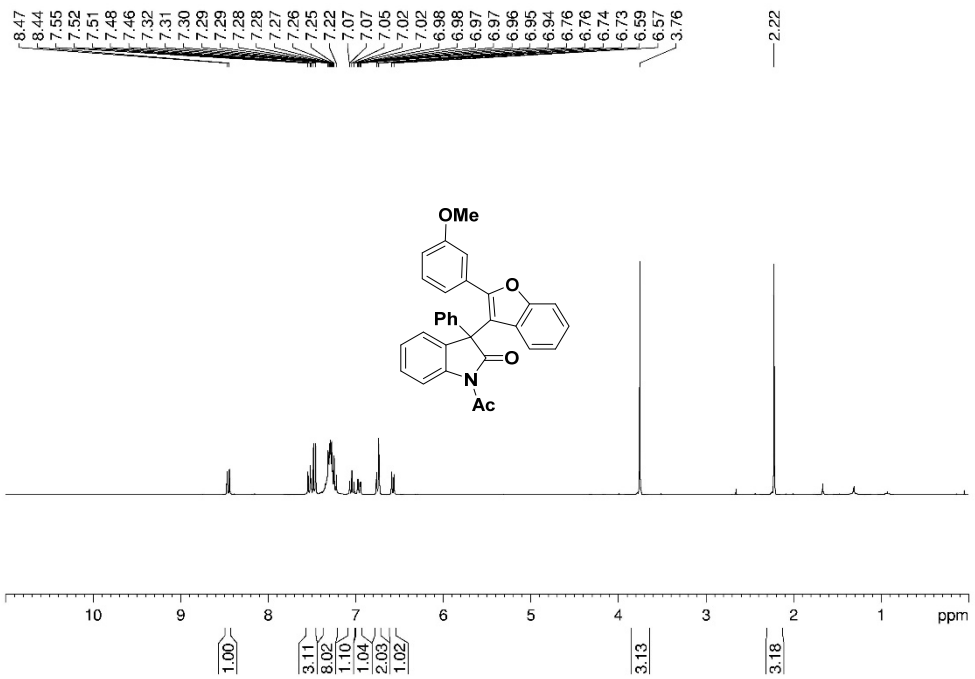
^{1}H NMR ($CDCl_3$, 25 ºC) of **3z**



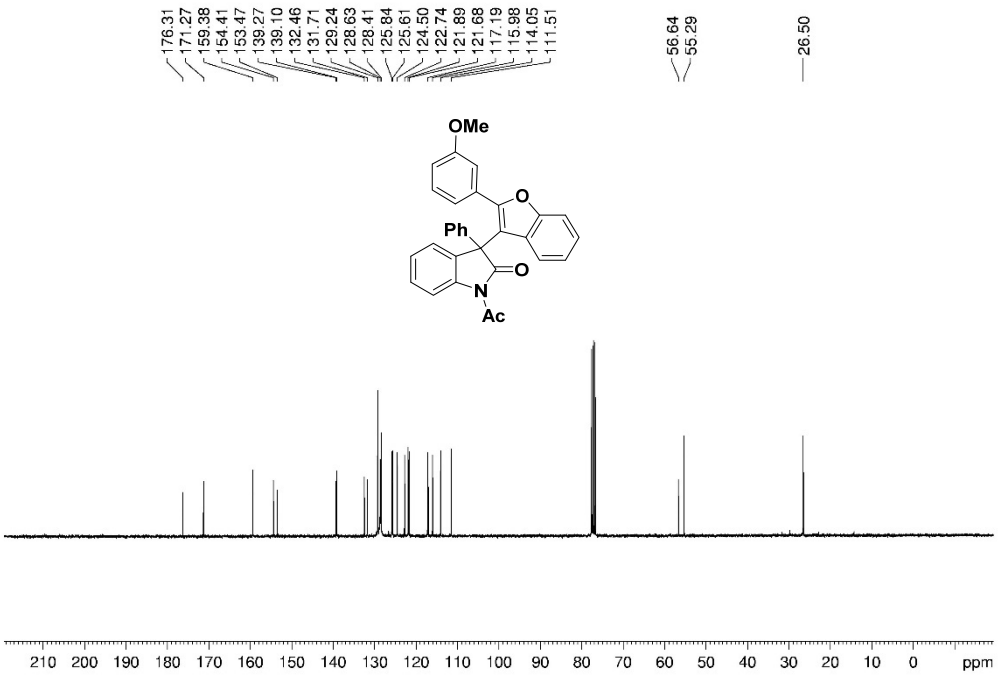
^{13}C NMR ($CDCl_3$, 25 ºC) of **3z**



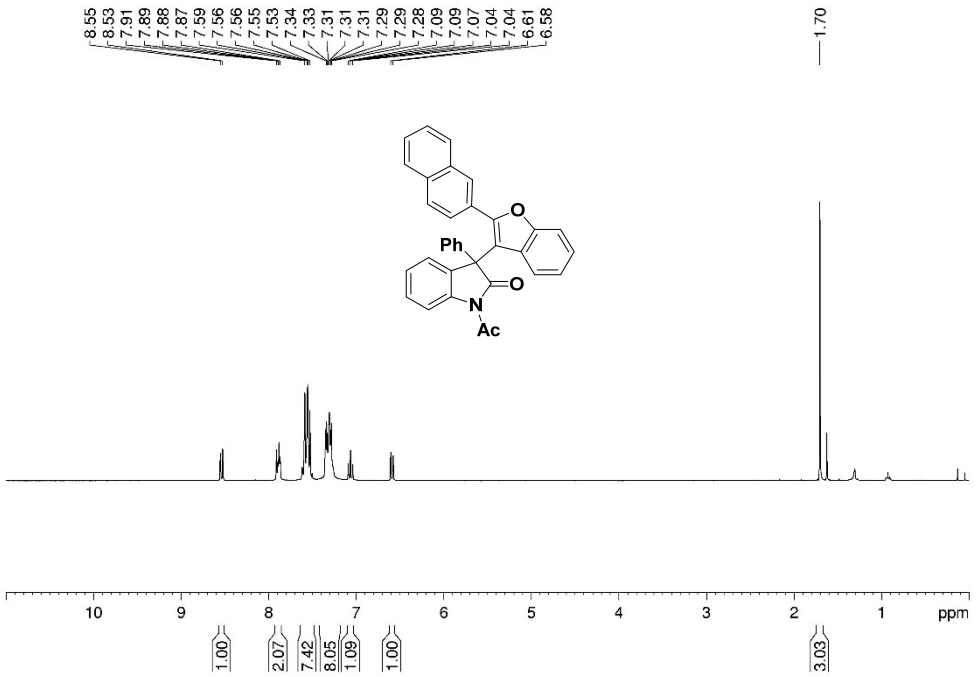
^{1}H NMR ($CDCl_3$, 25 ºC) of **3aa**



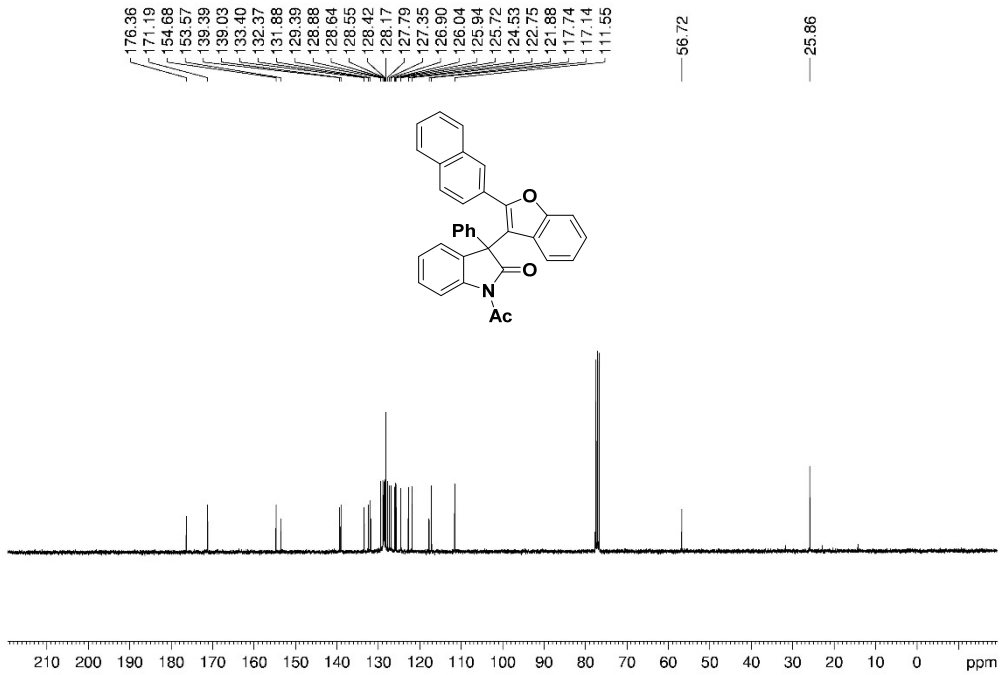
^{13}C NMR ($CDCl_3$, 25 ºC) of **3aa**



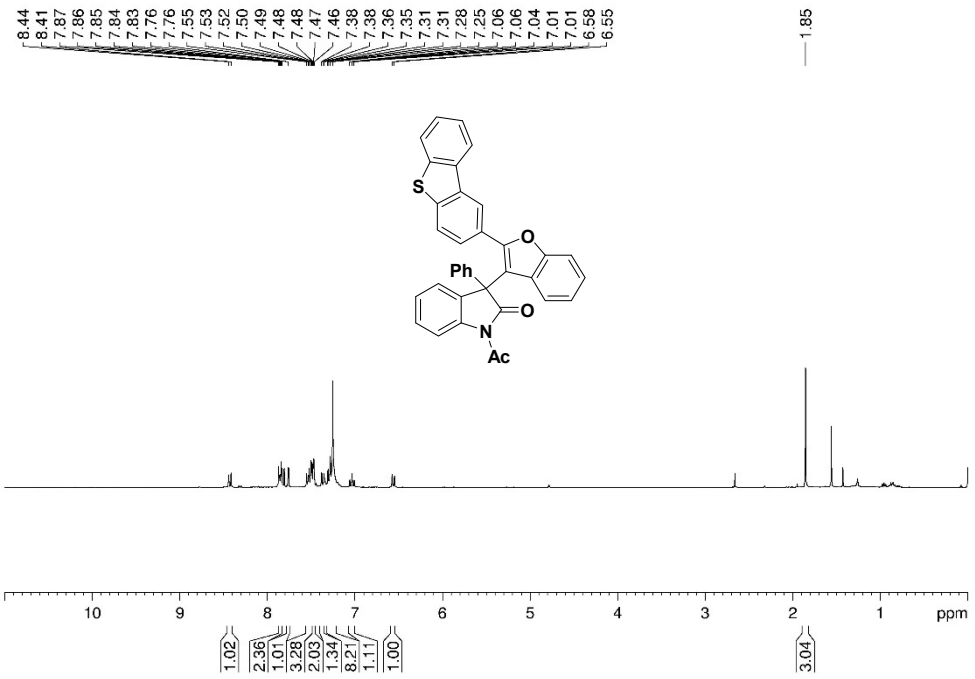
^{1}H NMR ($CDCl_3$, 25 ºC) of **3ab**



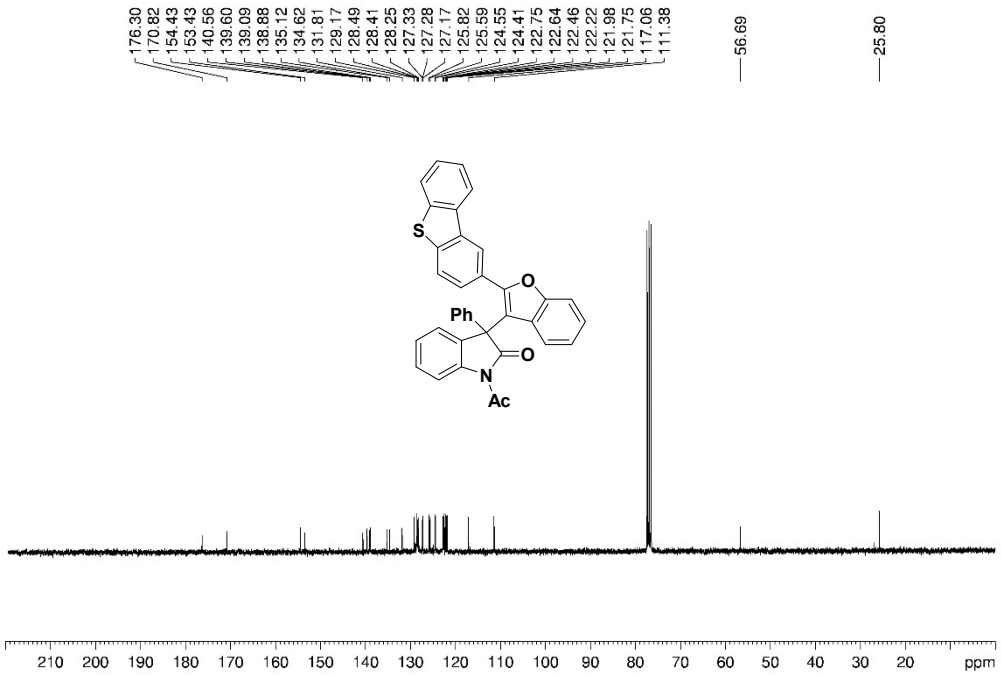
^{13}C NMR ($CDCl_3$, 25 ºC) of **3ab**



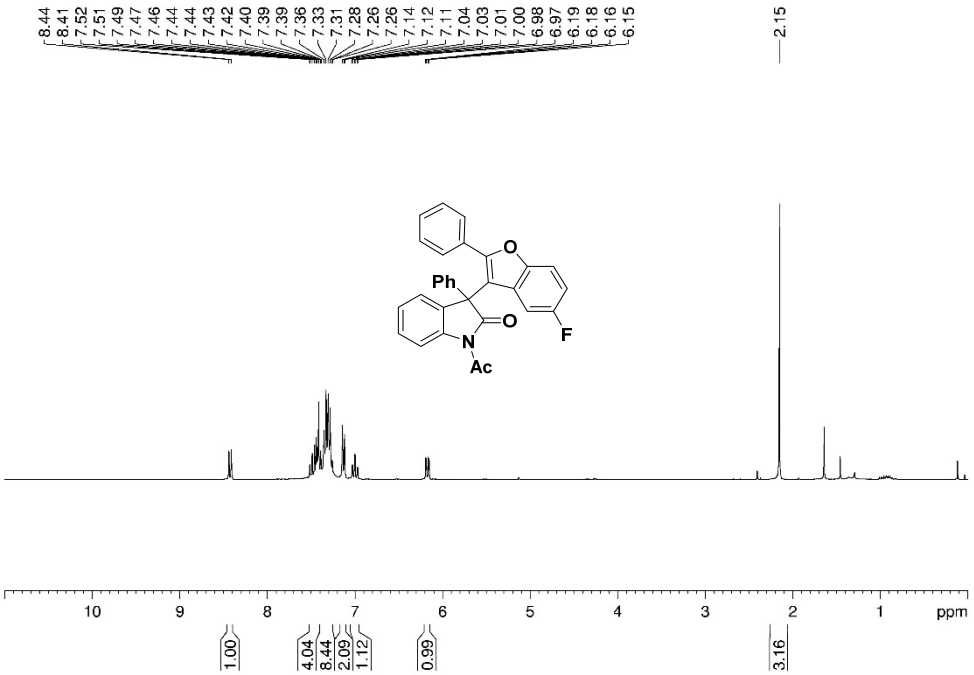
^{1}H NMR ($CDCl_3$, 25 ºC) of **3ac**



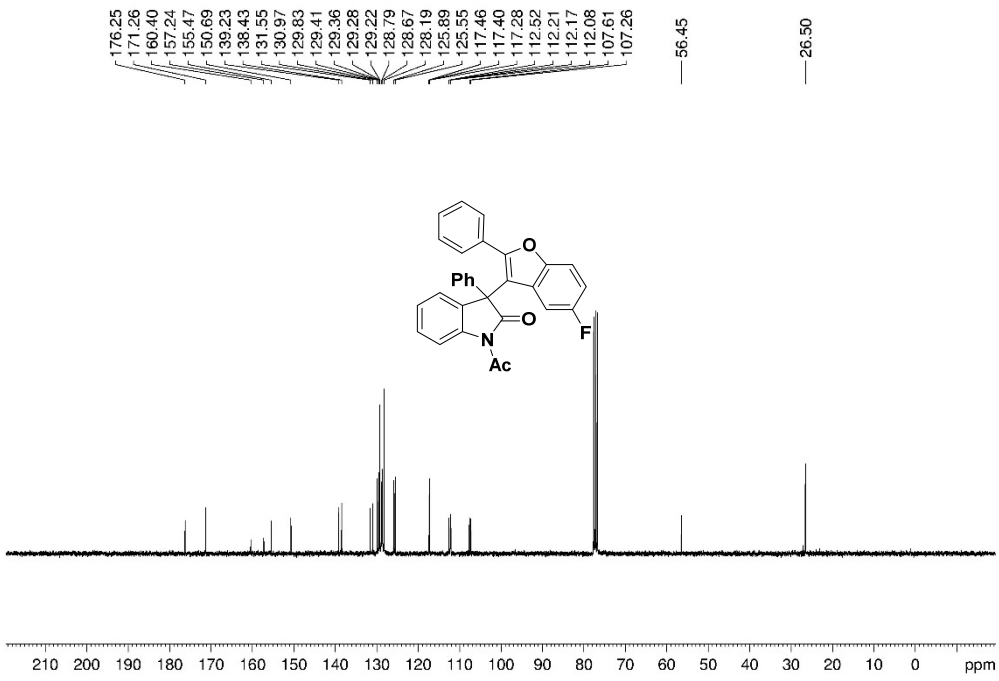
^{13}C NMR ($CDCl_3$, 25 ºC) of **3ac**



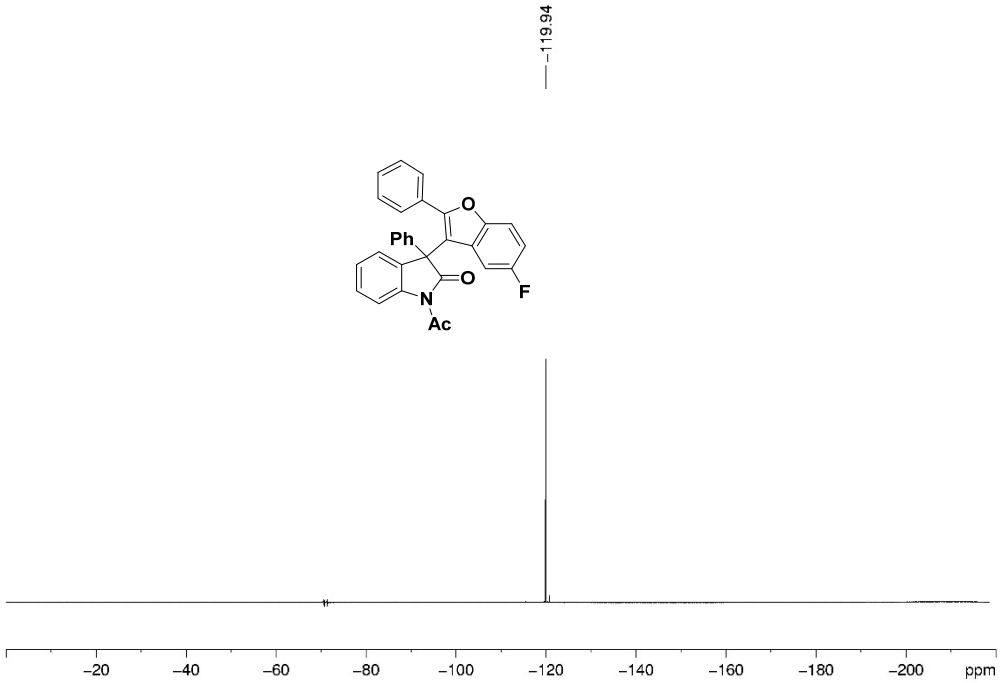
^{1}H NMR ($CDCl_3$, 25 ºC) of **3ad**



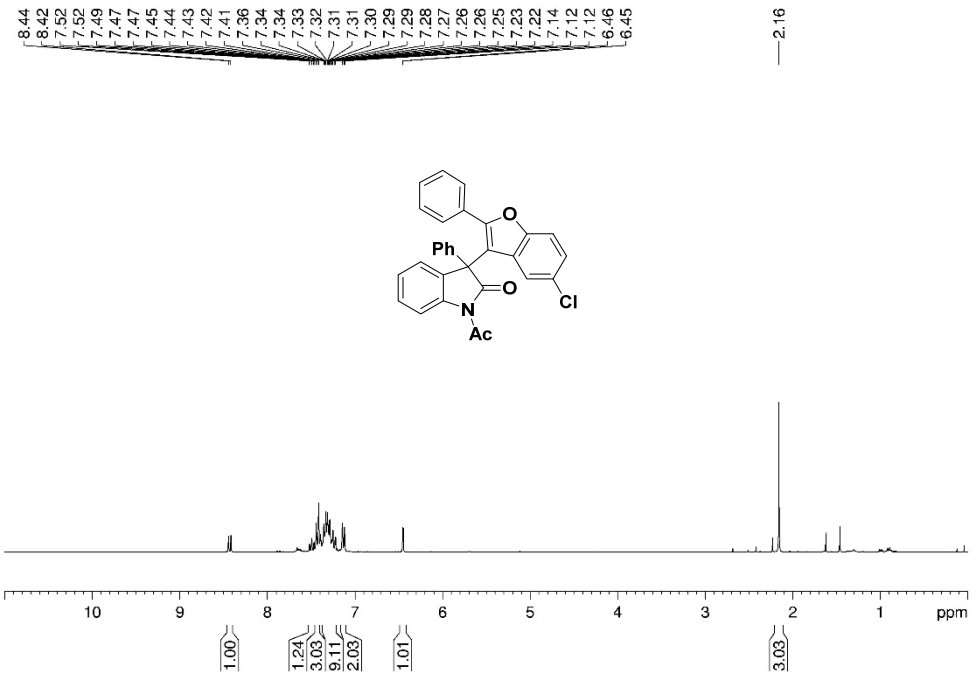
^{13}C NMR ($CDCl_3$, 25 ºC) of **3ad**



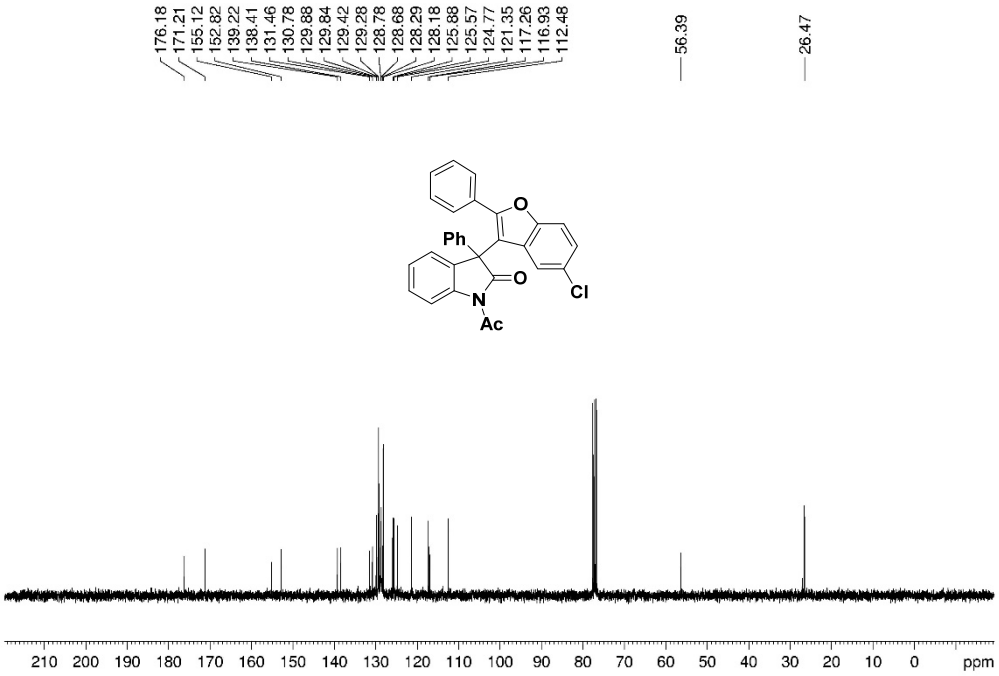
^{19}F NMR ($CDCl_3$, 25 ºC) of **3ad**



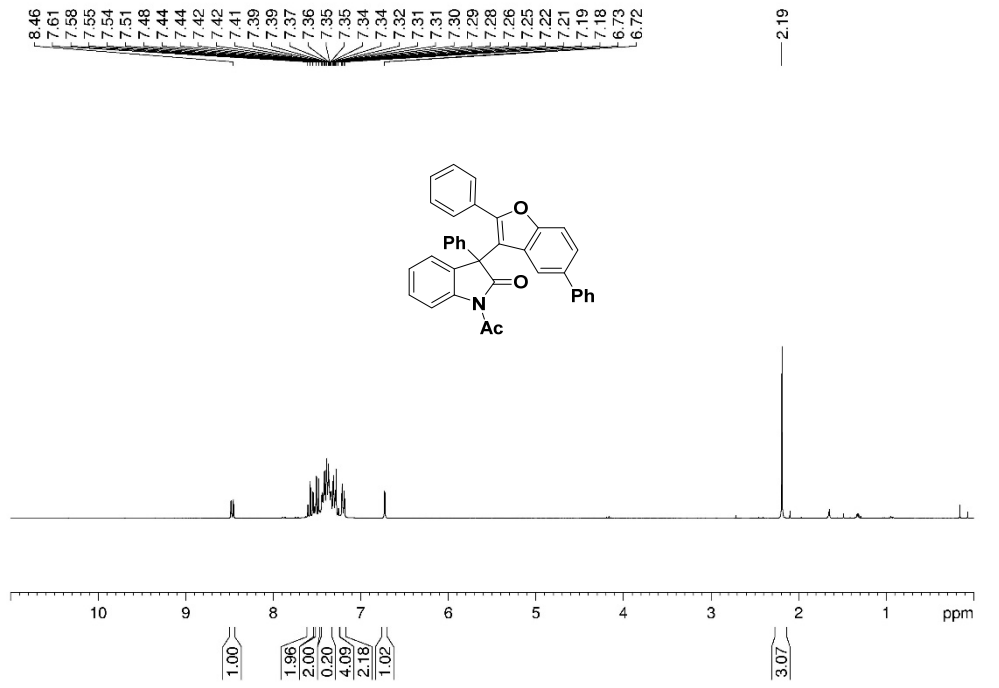
^{1}H NMR ($CDCl_3$, 25 ºC) of **3ae**



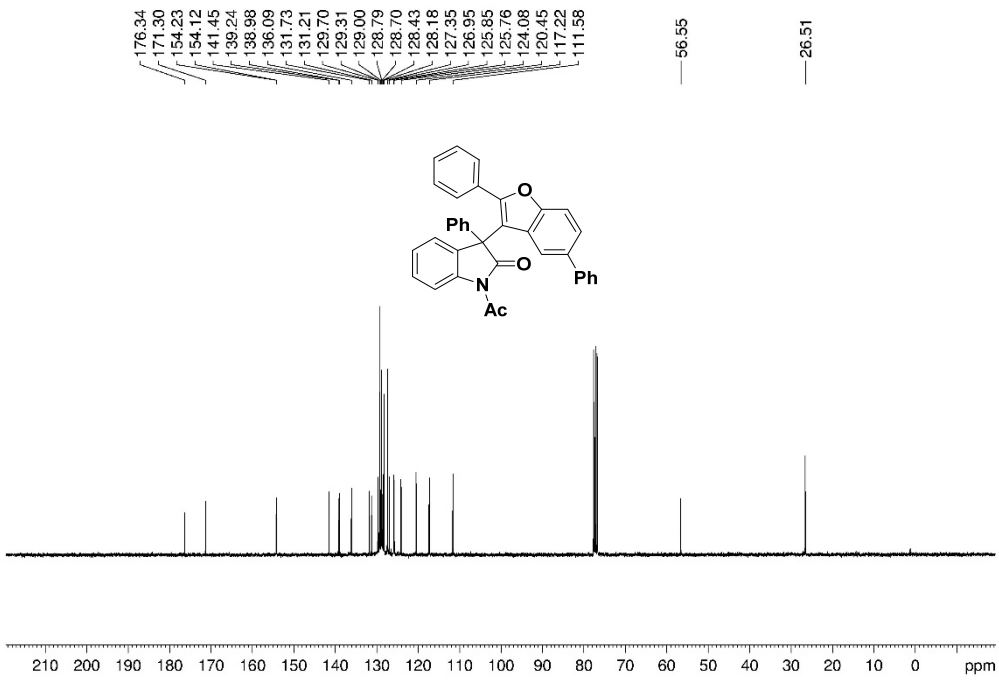
^{13}C NMR ($CDCl_3$, 25 ºC) of **3ae**



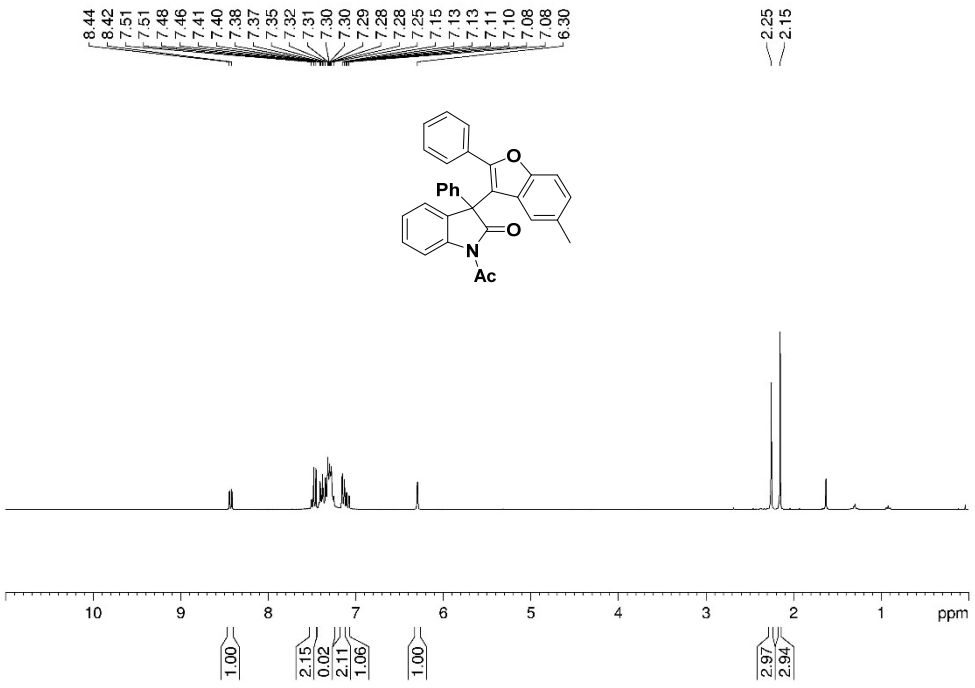
^{1}H NMR ($CDCl_3$, 25 ºC) of **3af**



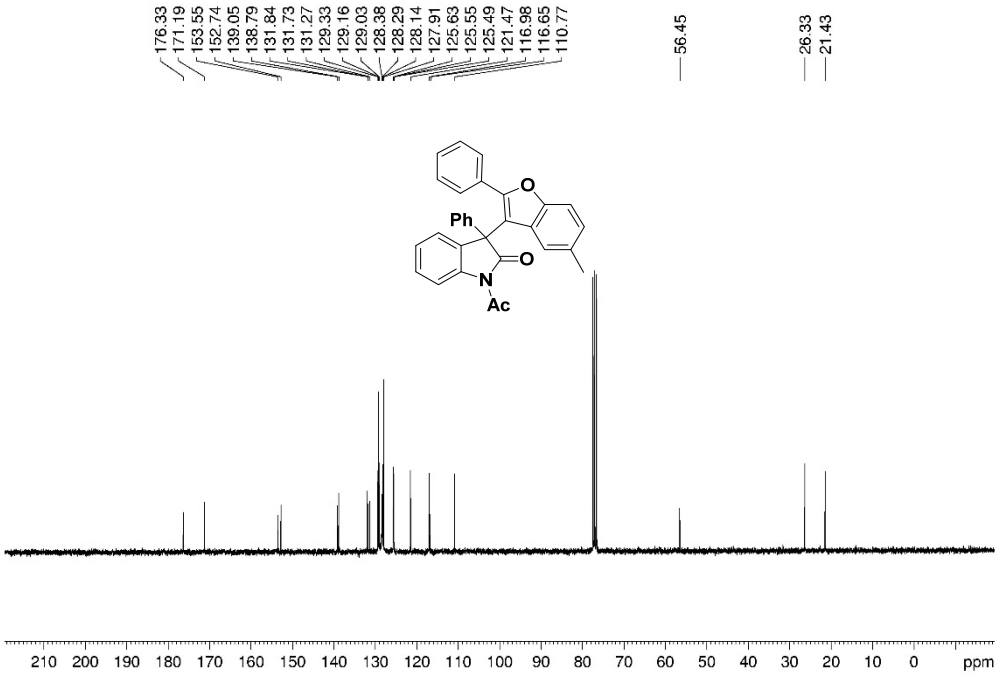
^{13}C NMR ($CDCl_3$, 25 ºC) of **3af**



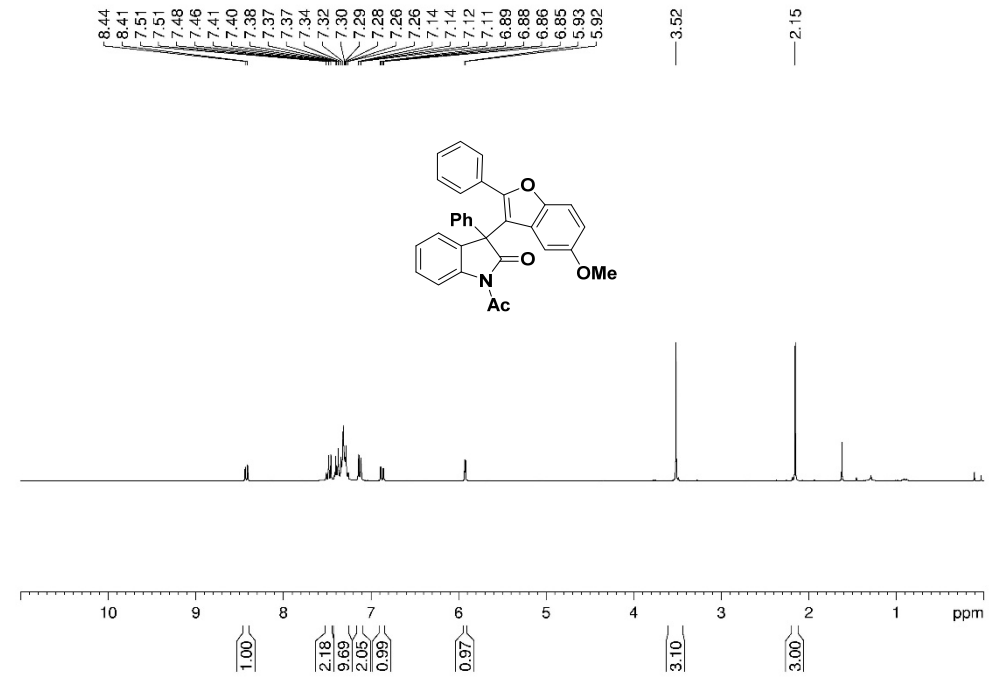
^{1}H NMR ($CDCl_3$, 25 ºC) of **3ag**



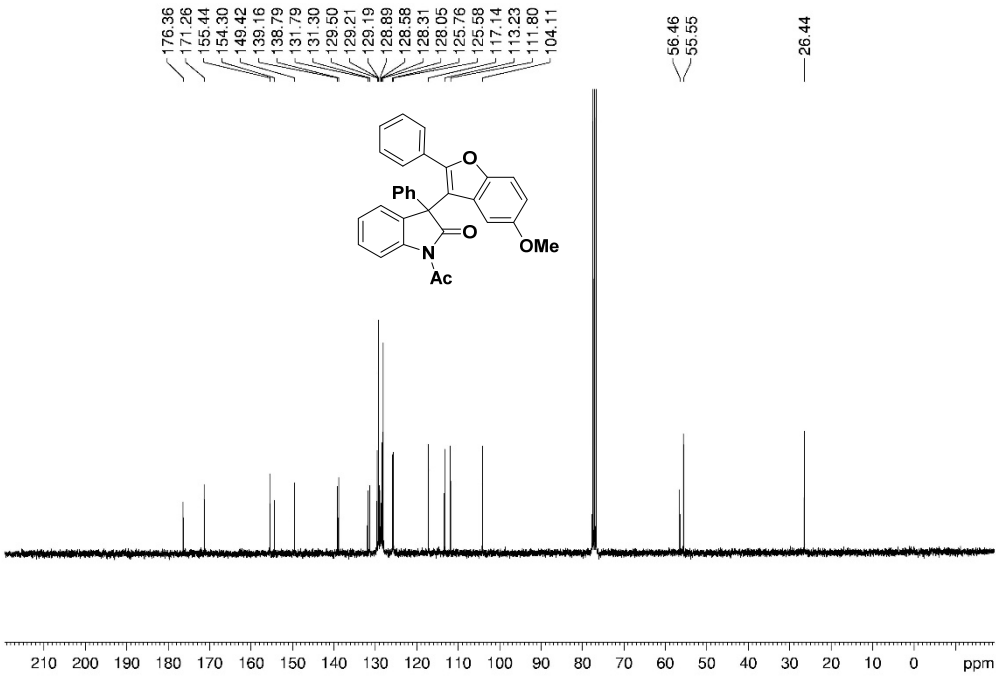
^{13}C NMR ($CDCl_3$, 25 ºC) of **3ag**



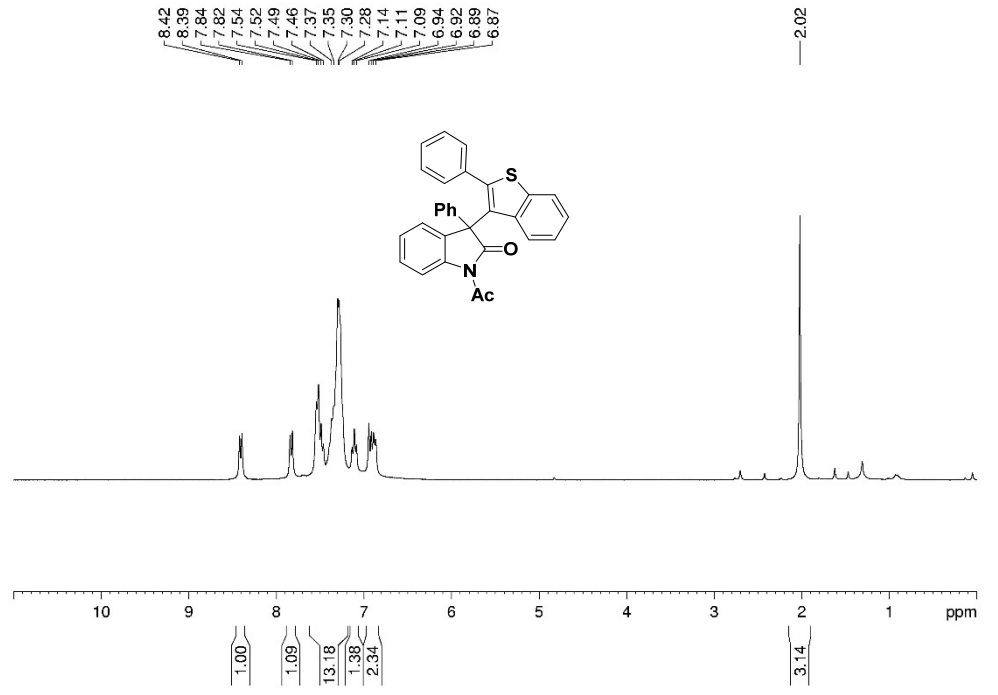
^{1}H NMR ($CDCl_3$, 25 ºC) of **3ah**



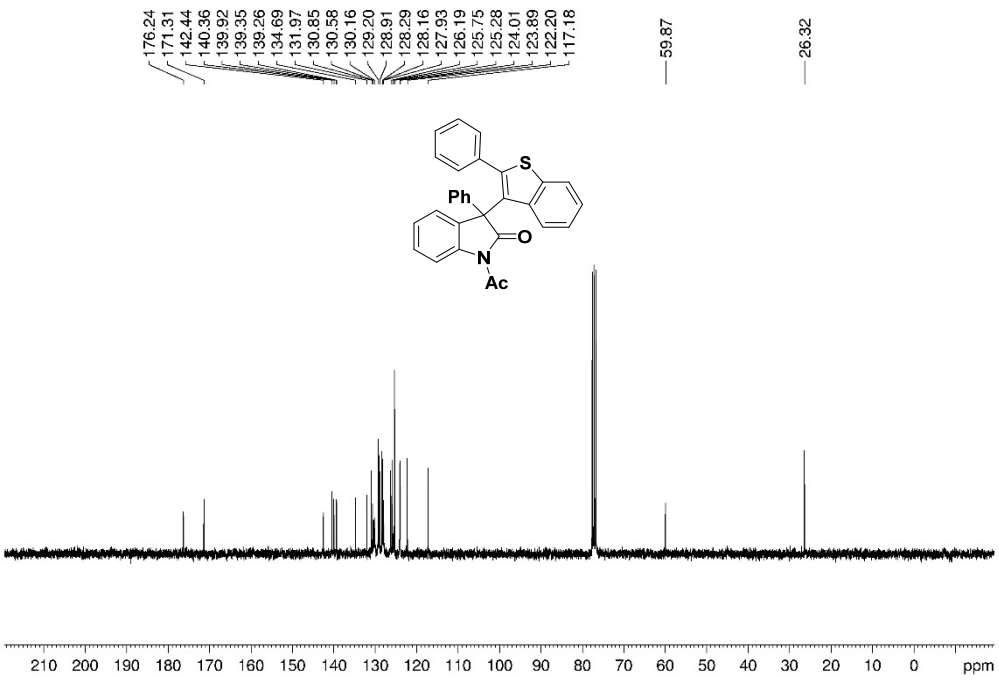
^{13}C NMR ($CDCl_3$, 25 ºC) of **3ah**



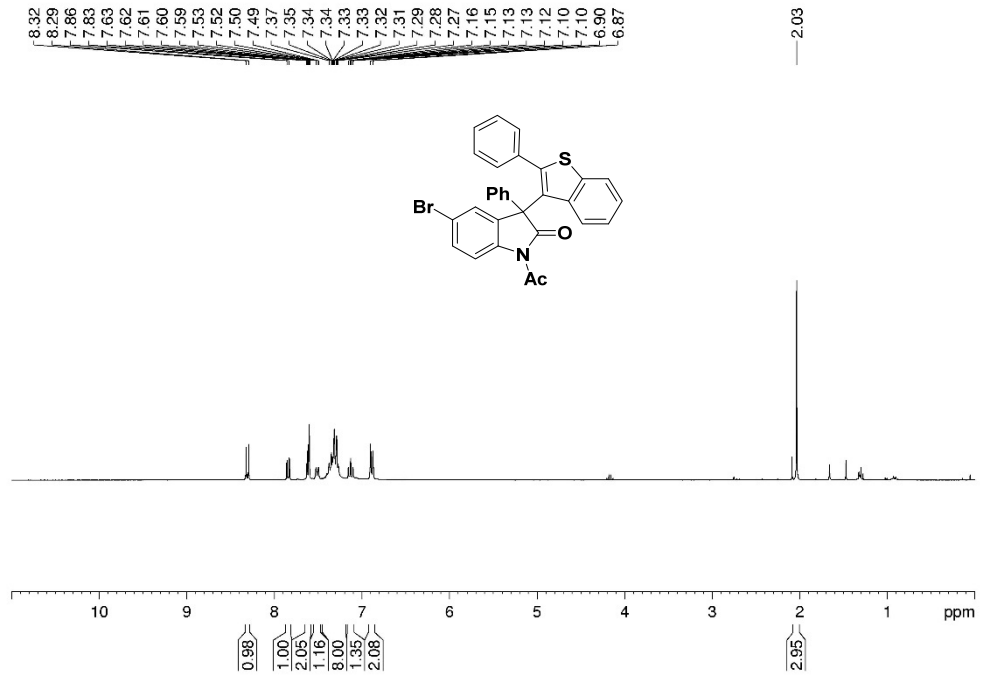
^{1}H NMR ($CDCl_3$, 25 ºC) of **3ai**



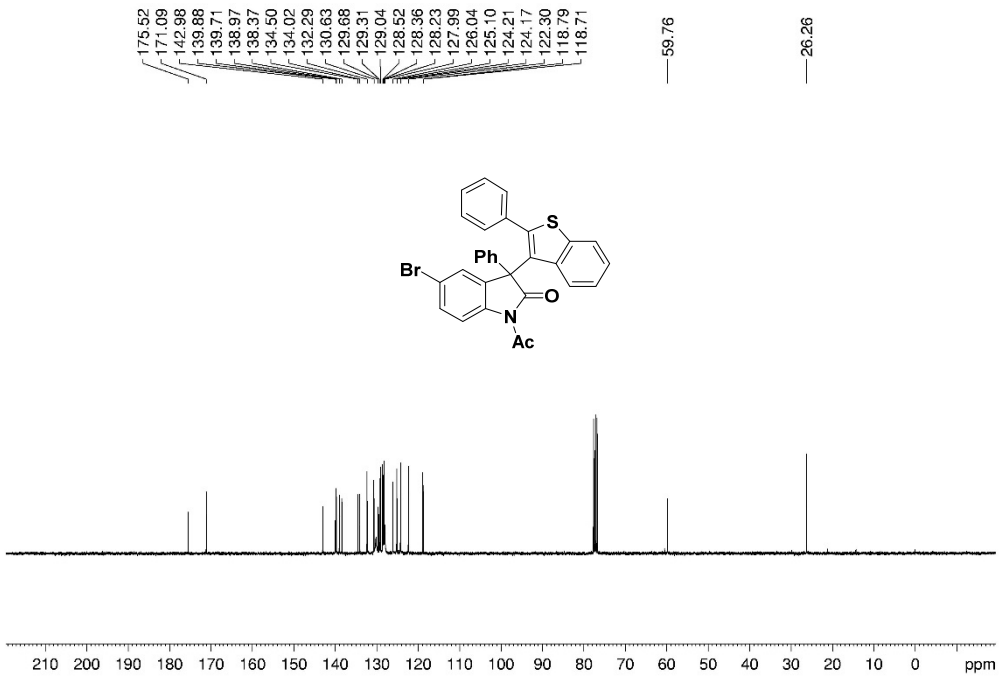
^{13}C NMR ($CDCl_3$, 25 ºC) of **3ai**



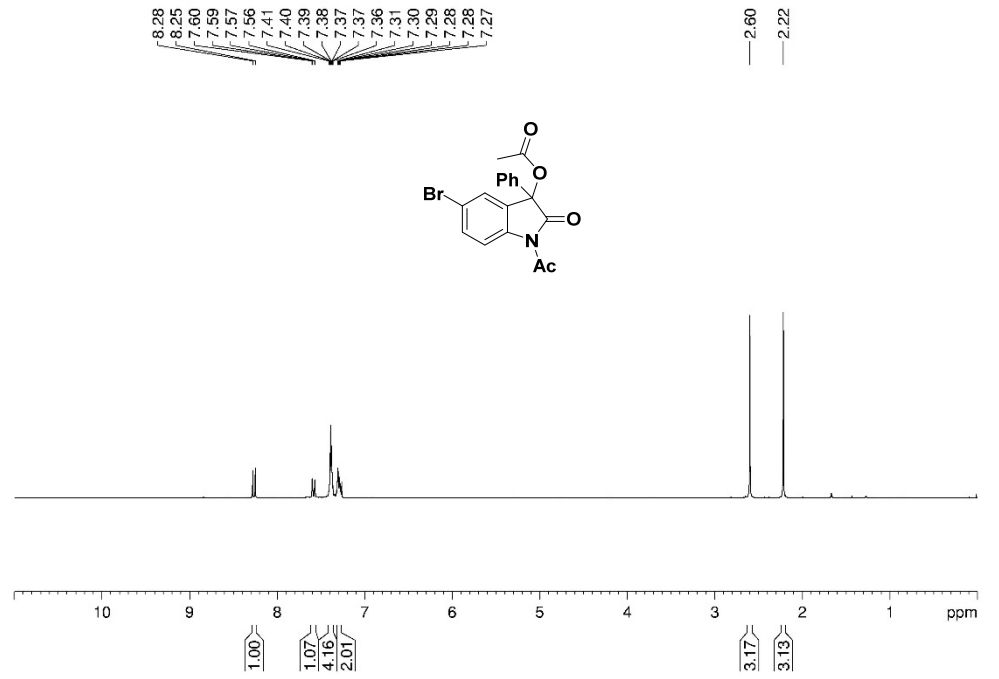
^{1}H NMR ($CDCl_3$, 25 ºC) of **3aj**



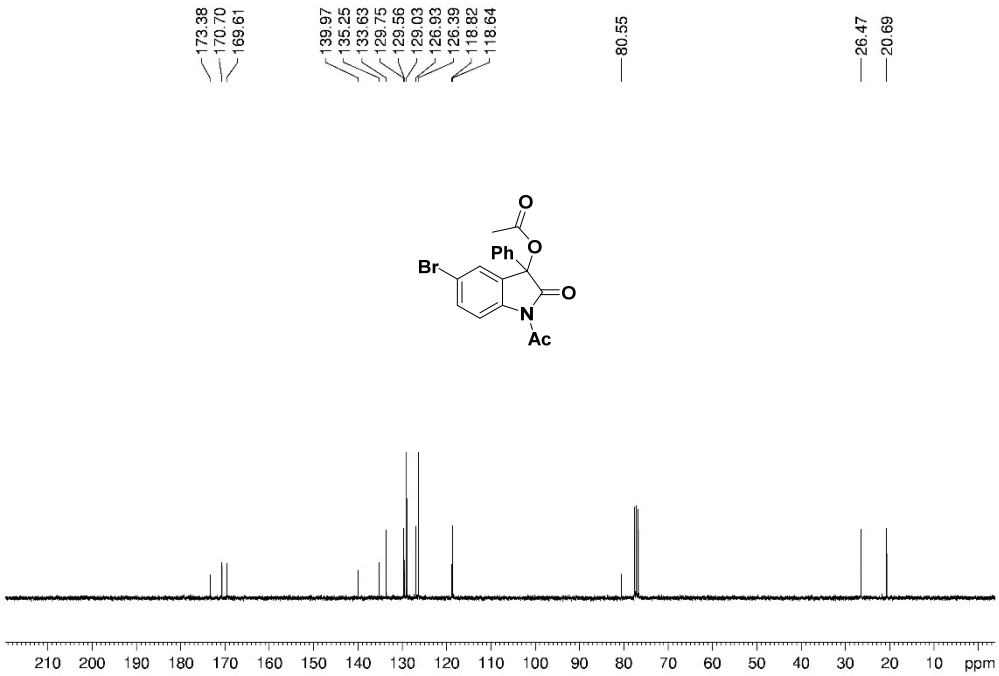
^{13}C NMR ($CDCl_3$, 25 ºC) of **3aj**



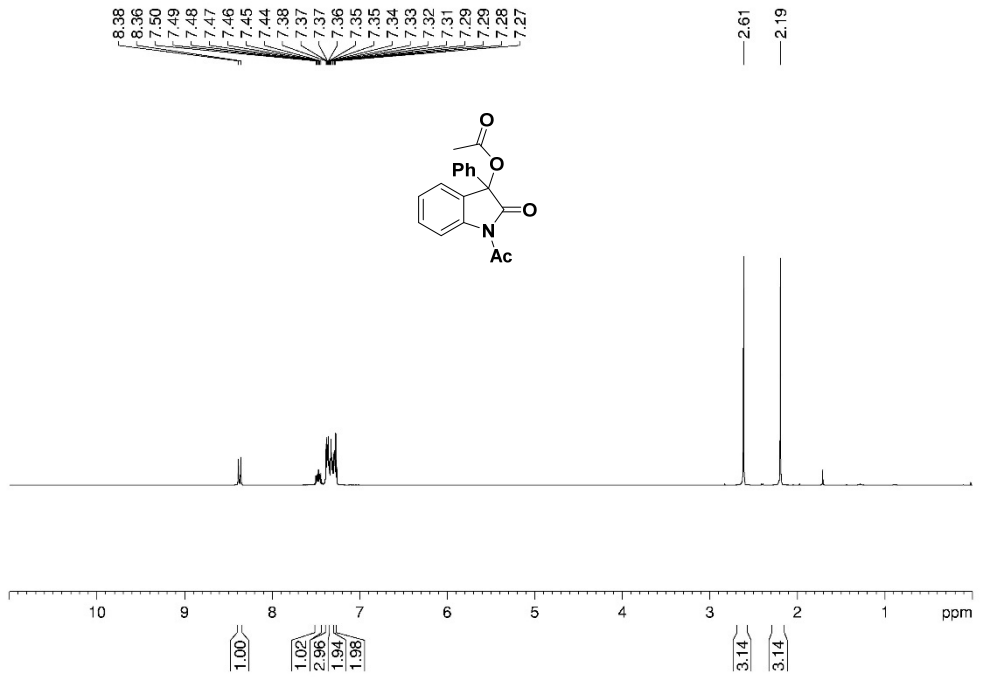
^{1}H NMR ($CDCl_3$, 25 ºC) of **4a**



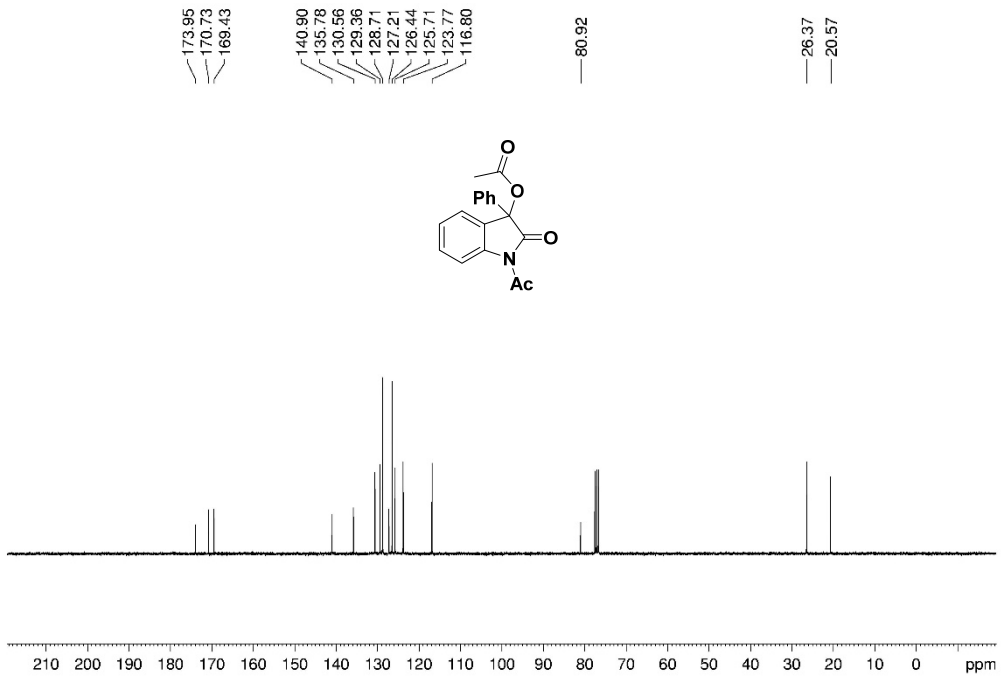
^{13}C NMR ($CDCl_3$, 25 ºC) of **4a**



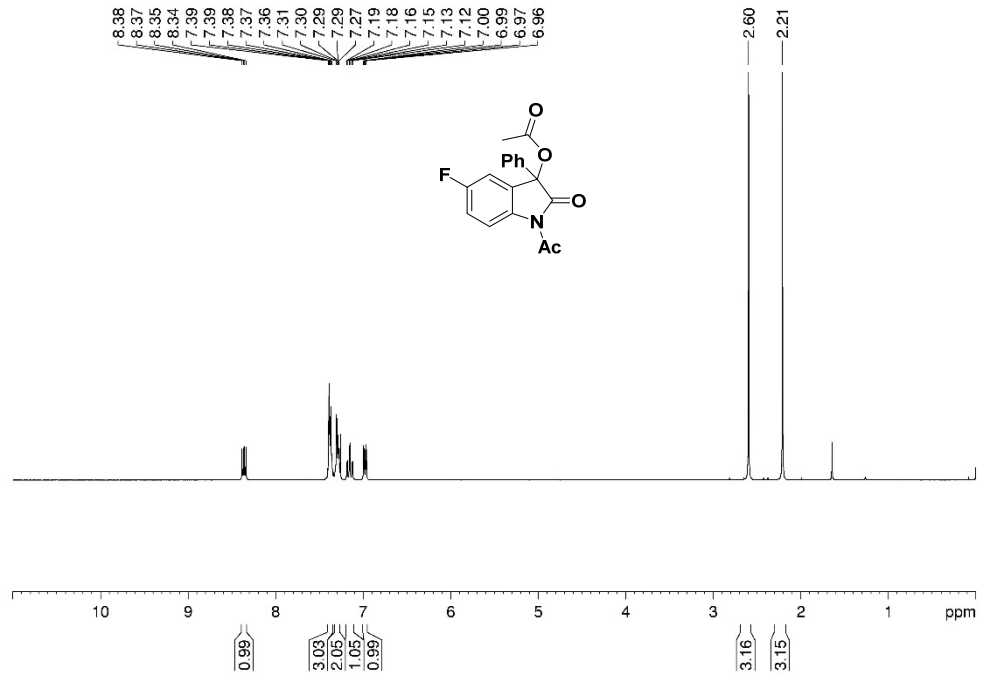
^{1}H NMR ($CDCl_3$, 25 ºC) of **4b**



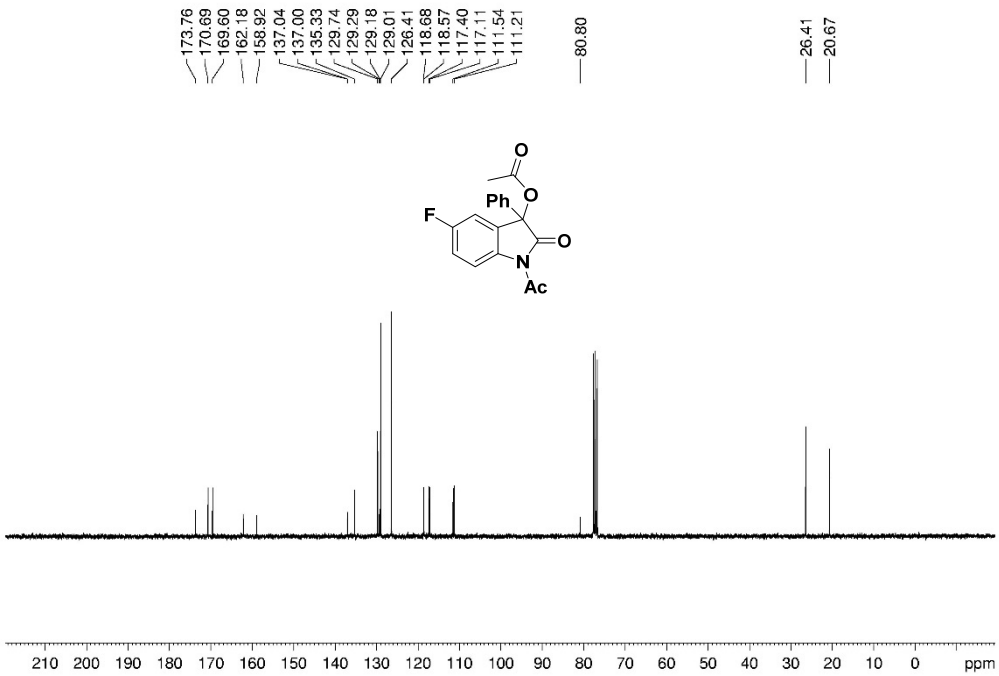
^{13}C NMR ($CDCl_3$, 25 ºC) of **4b**



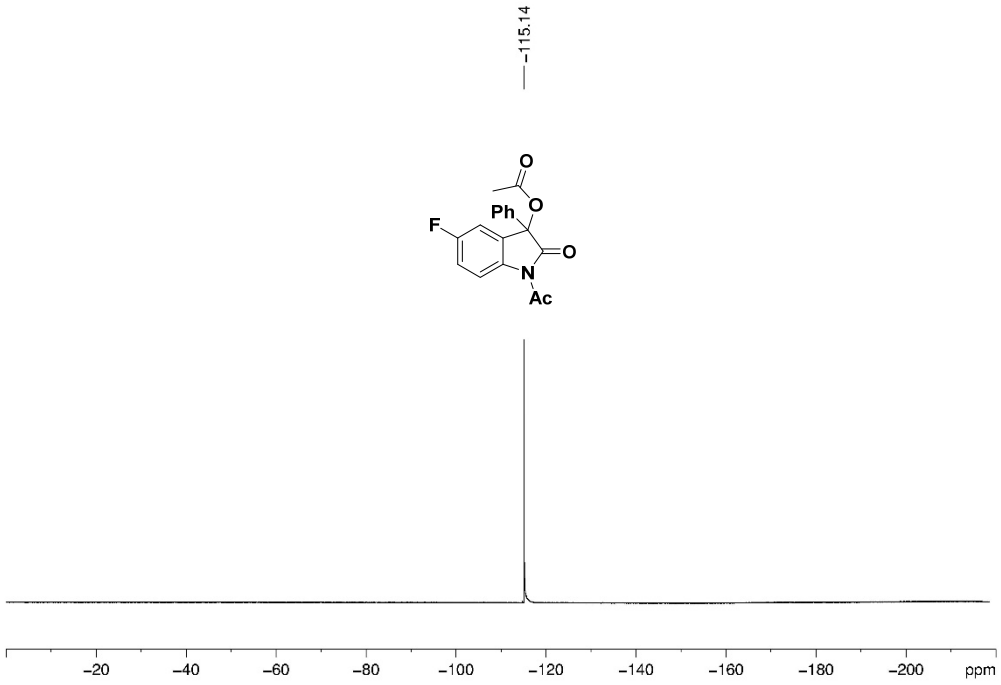
^{1}H NMR ($CDCl_3$, 25 ºC) of **4c**



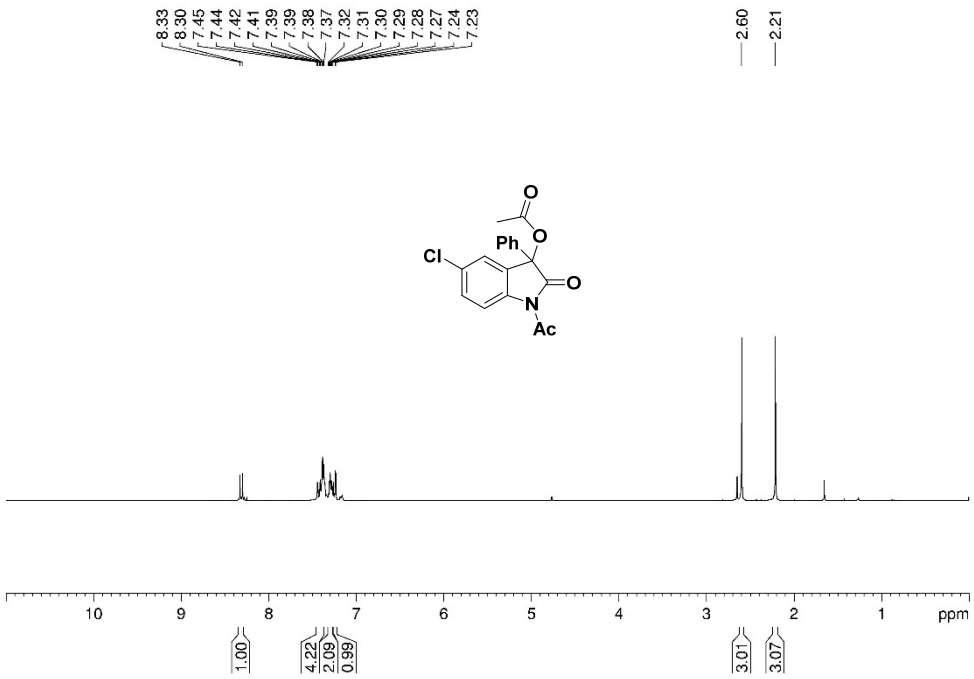
^{13}C NMR ($CDCl_3$, 25 ºC) of **4c**



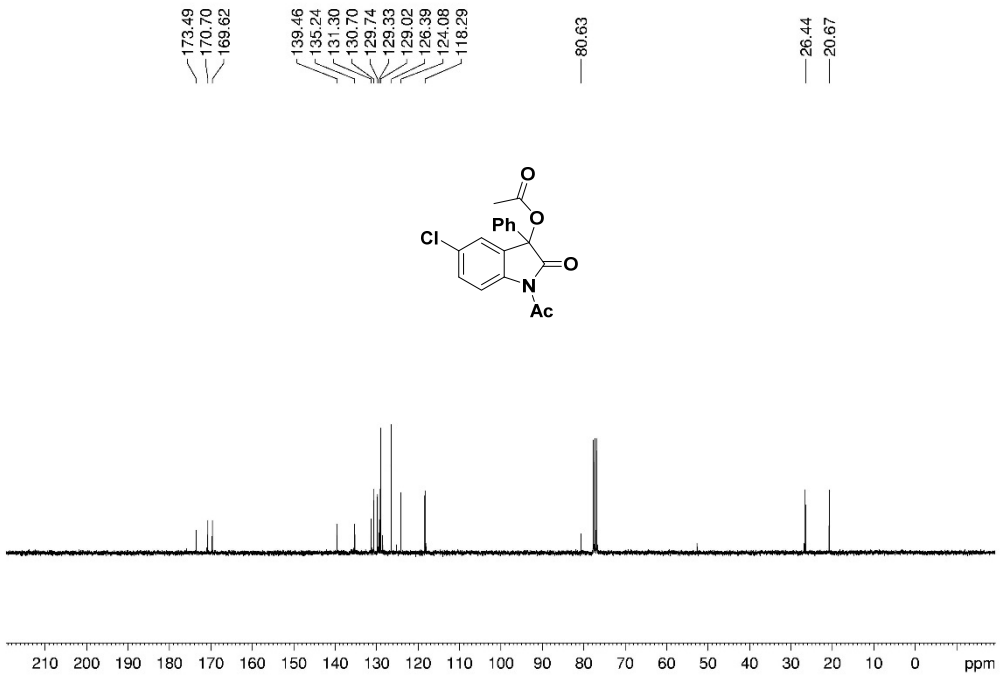
^{19}F NMR ($CDCl_3$, 25 ºC) of **4c**



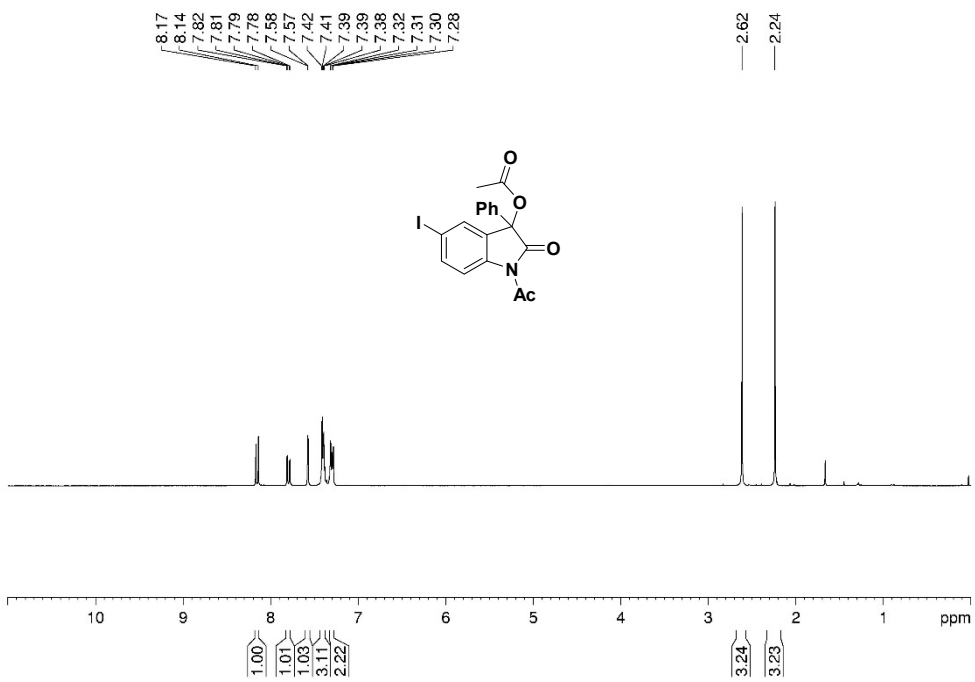
^{1}H NMR ($CDCl_3$, 25 ºC) of **4d**



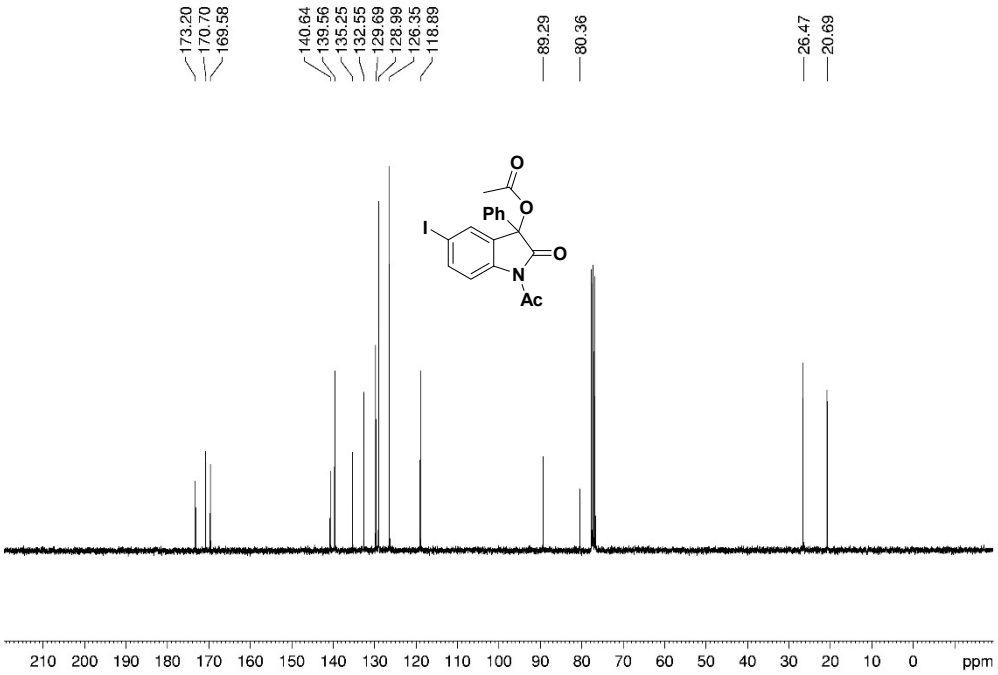
^{13}C NMR ($CDCl_3$, 25 ºC) of **4d**



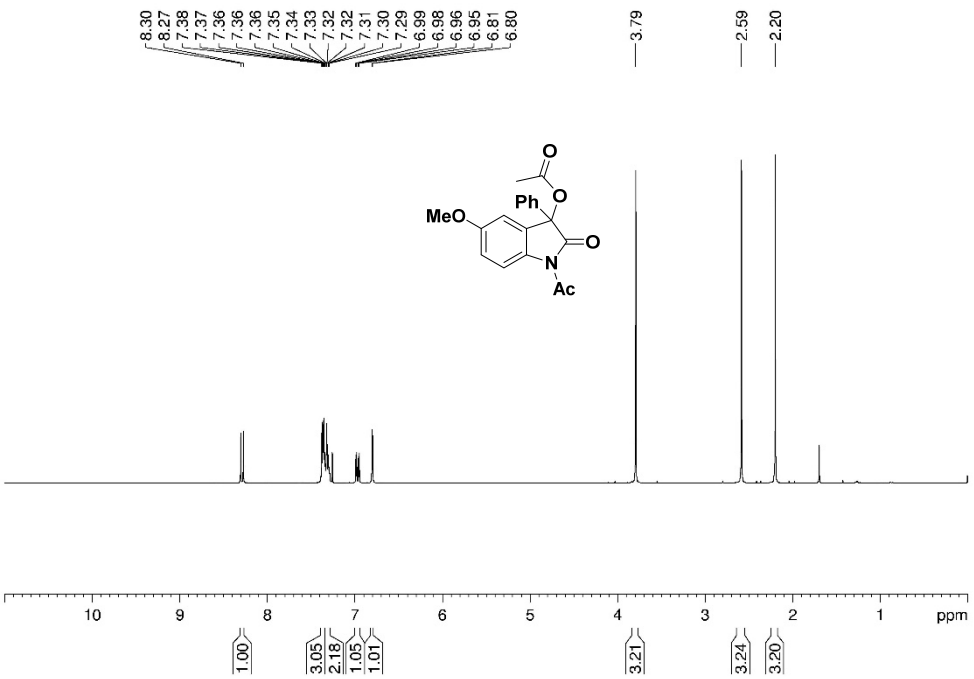
^{1}H NMR ($CDCl_3$, 25 ºC) of **4e**



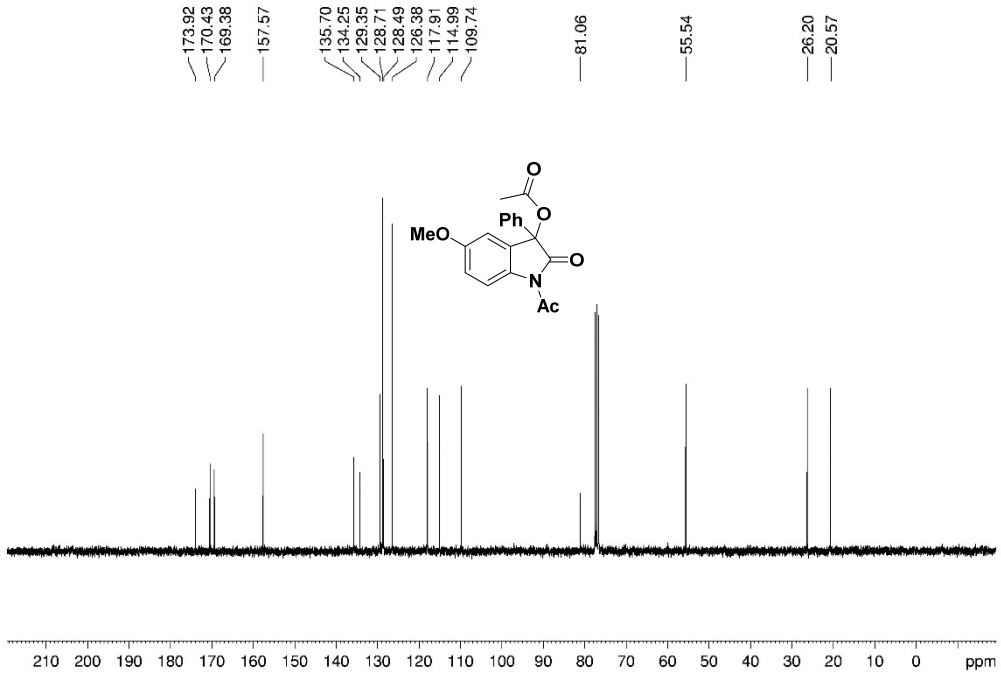
^{13}C NMR ($CDCl_3$, 25 ºC) of **4e**



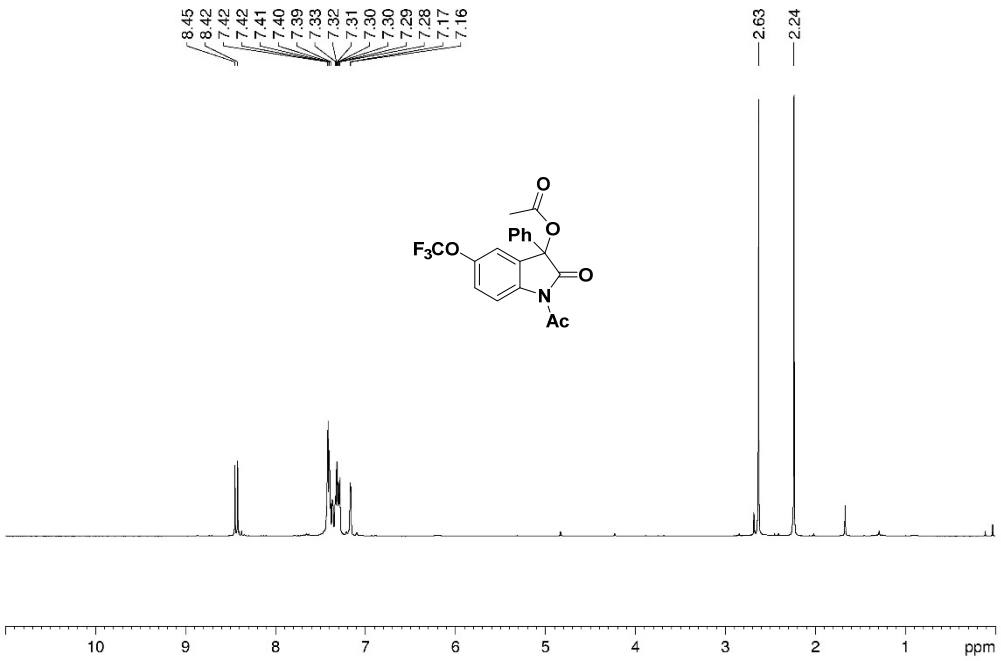
^{1}H NMR ($CDCl_3$, 25 ºC) of **4f**



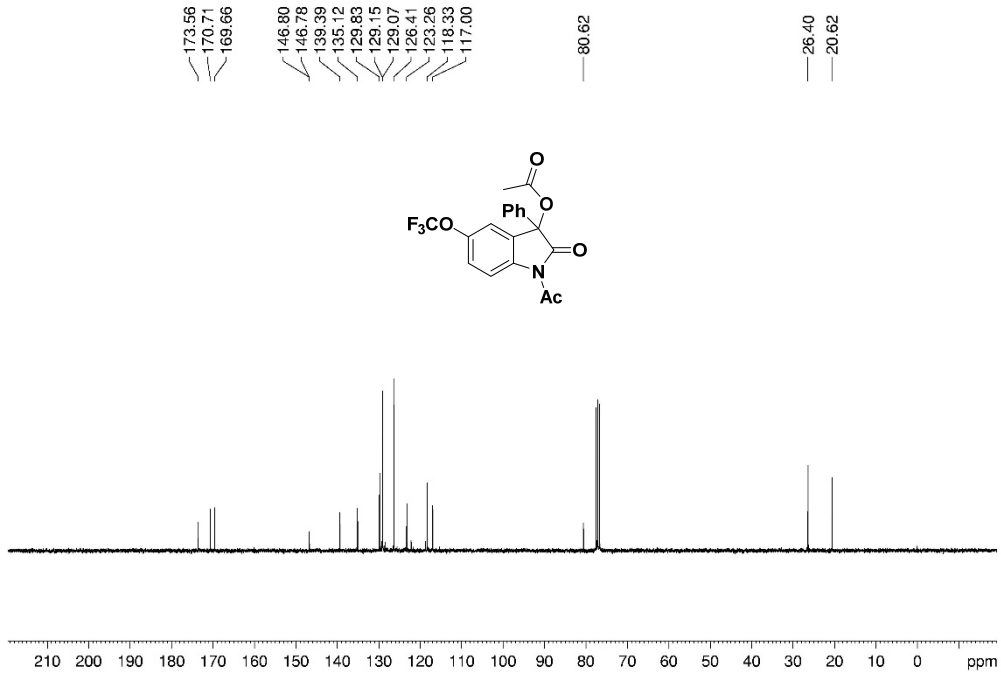
^{13}C NMR ($CDCl_3$, 25 ºC) of **4f**



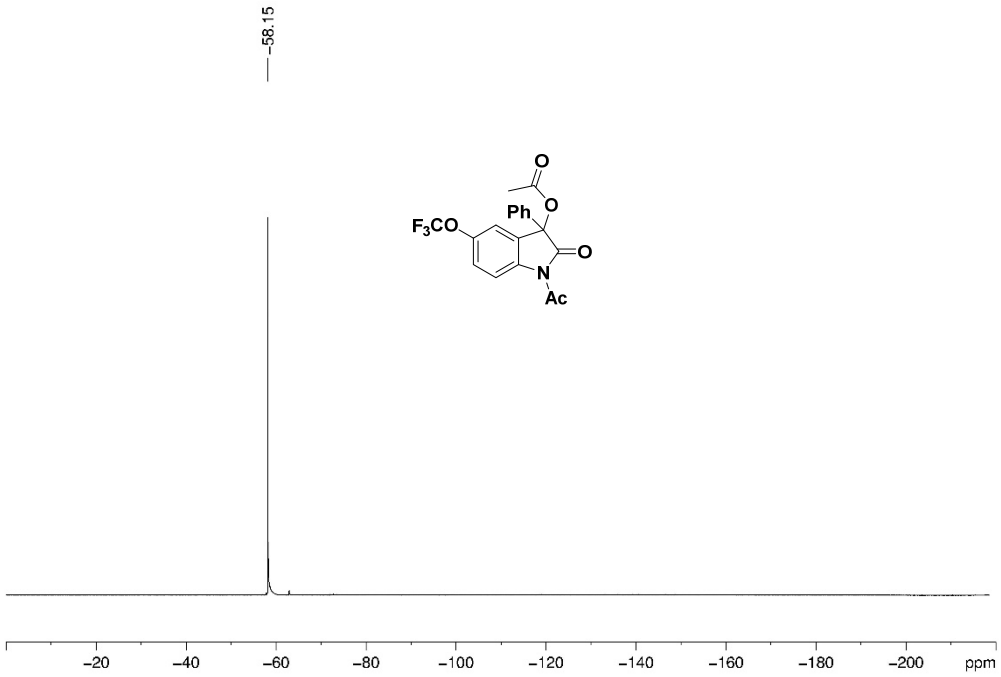
^{1}H NMR ($CDCl_3$, 25 ºC) of **4g**



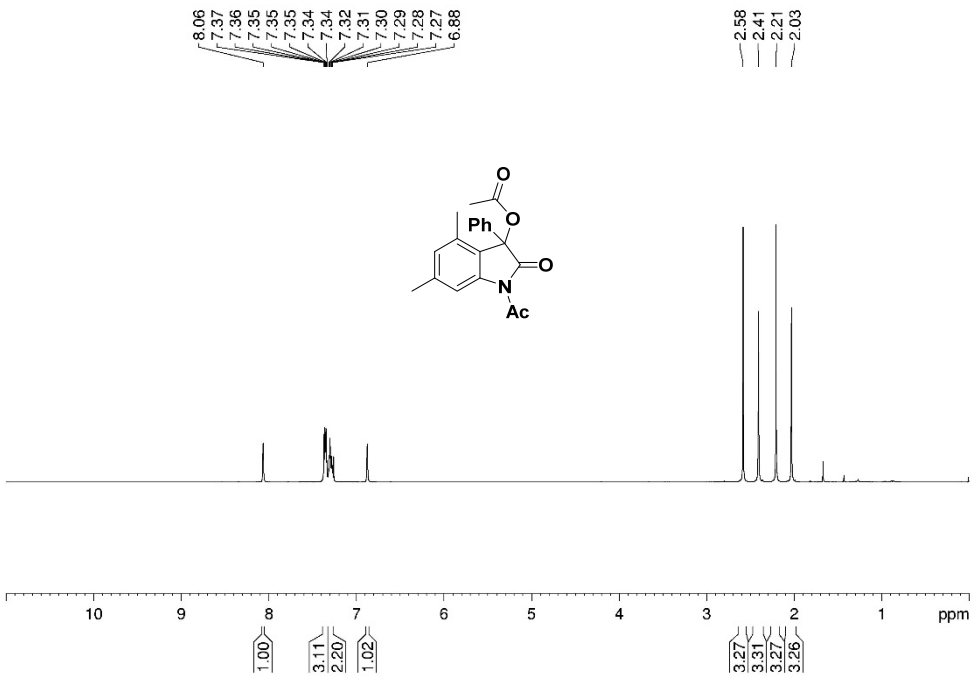
^{13}C NMR ($CDCl_3$, 25 ºC) of **4g**



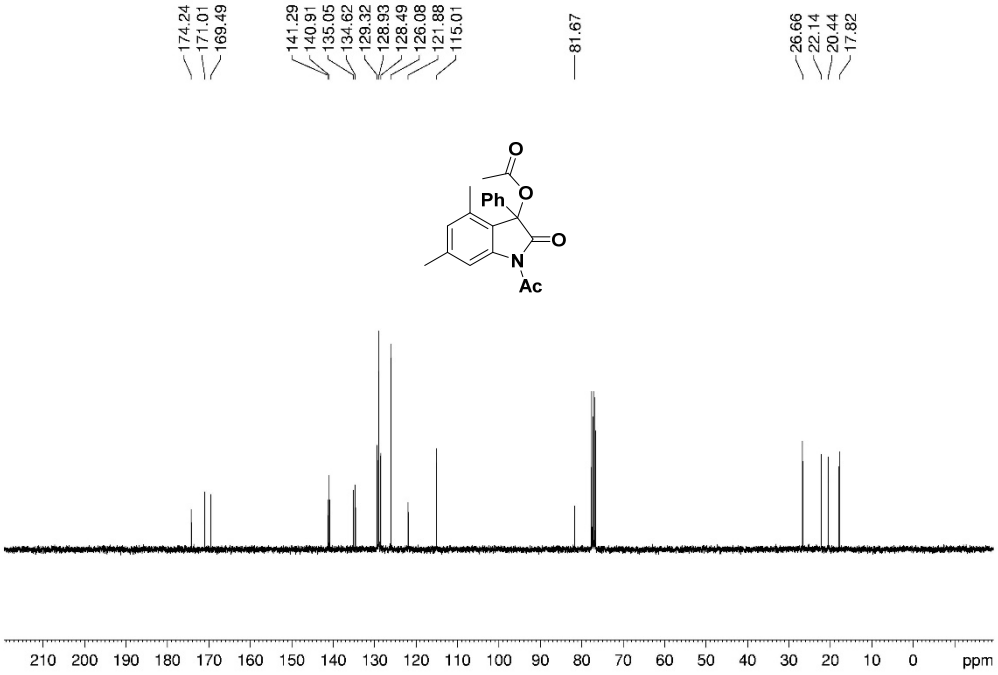
^{19}F NMR ($CDCl_3$, 25 ºC) of **4g**



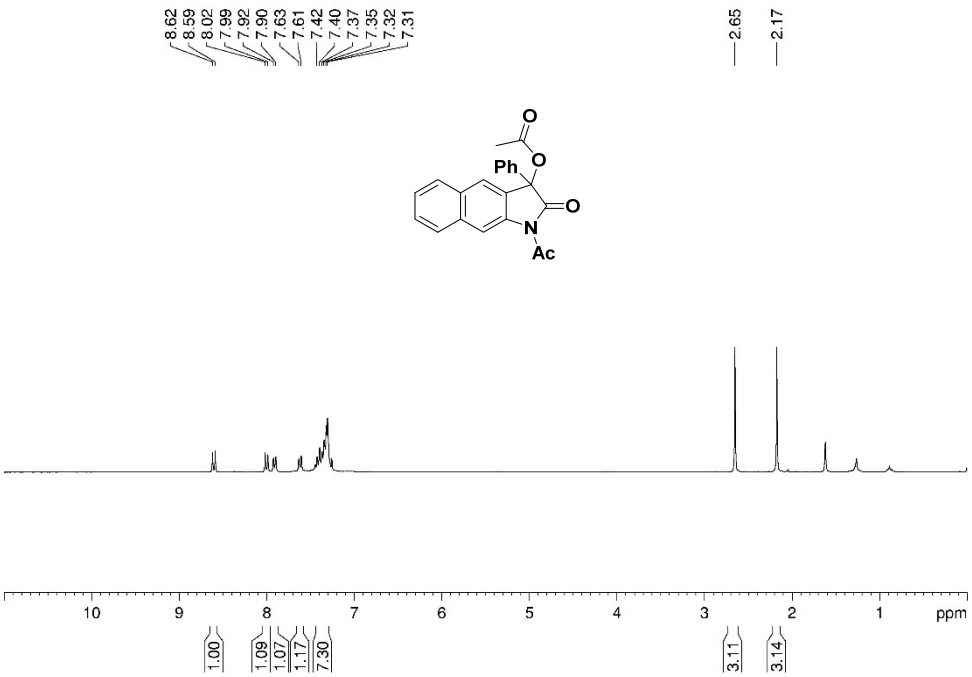
^{1}H NMR ($CDCl_3$, 25 ºC) of **4h**



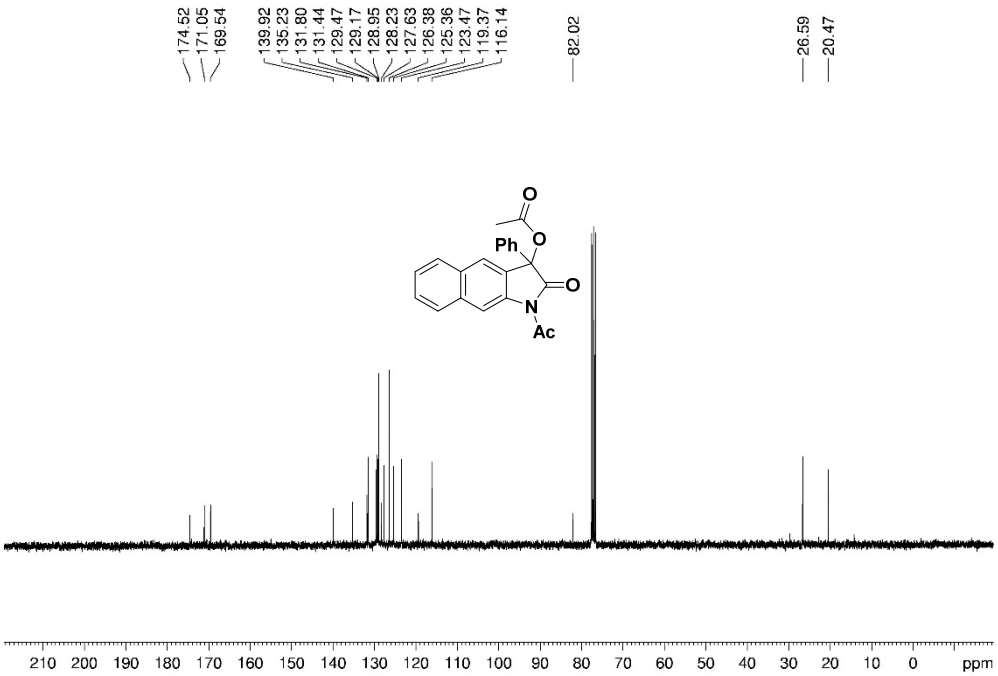
^{13}C NMR ($CDCl_3$, 25 ºC) of **4h**



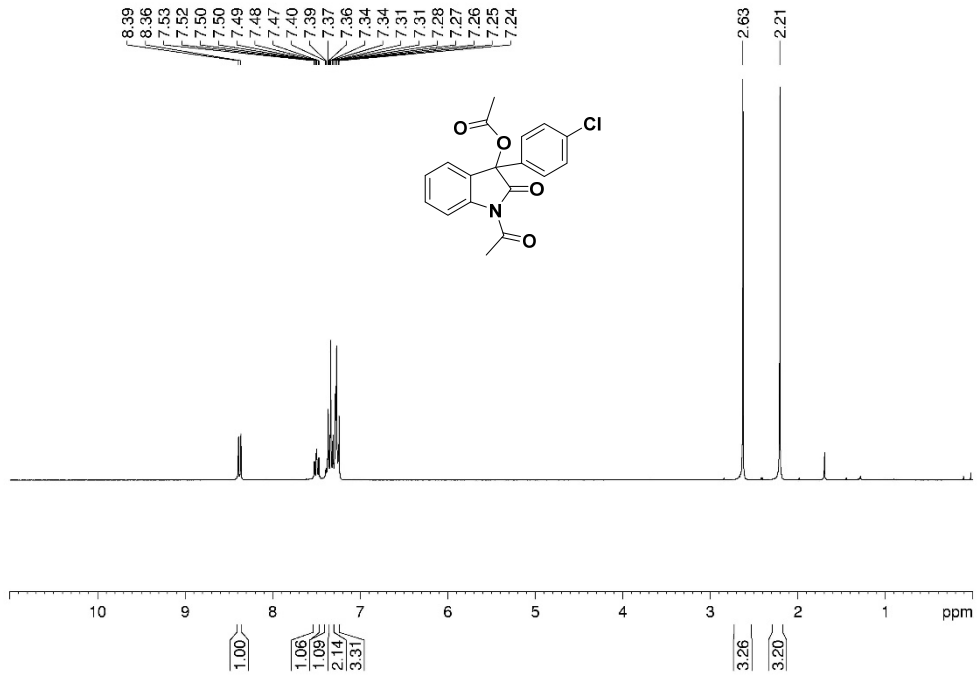
^{1}H NMR ($CDCl_3$, 25 ºC) of **4i**



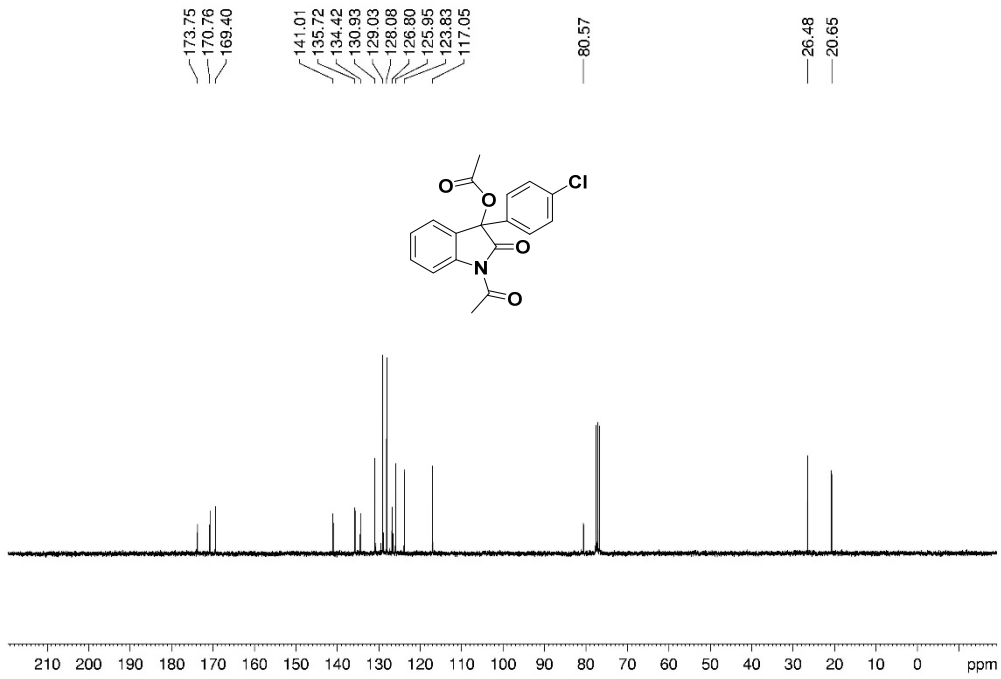
^{13}C NMR ($CDCl_3$, 25 ºC) of **4i**



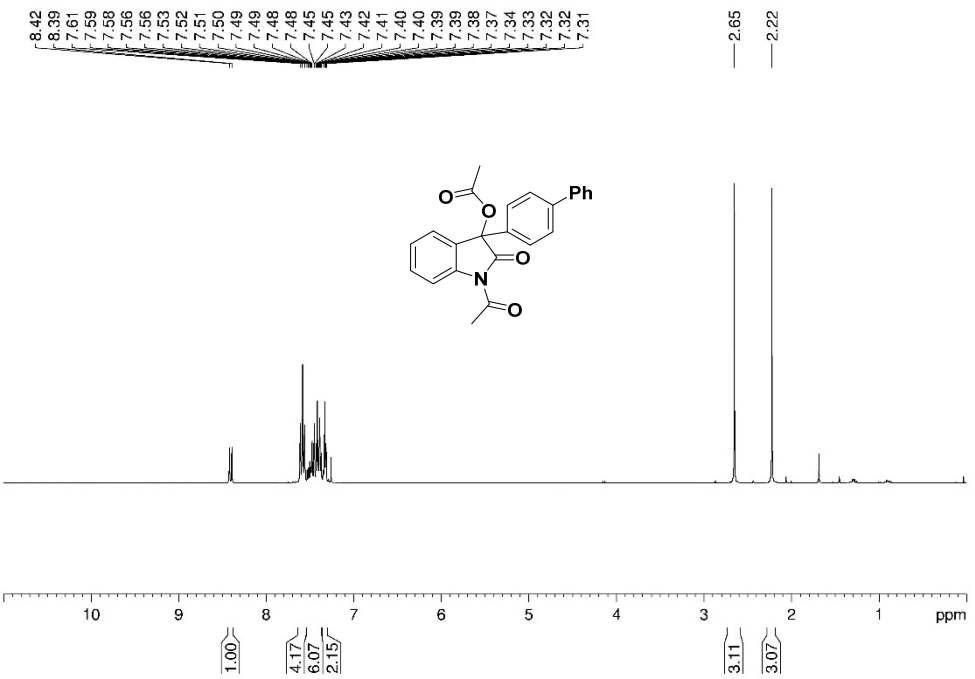
^{1}H NMR ($CDCl_3$, 25 ºC) of **4j**



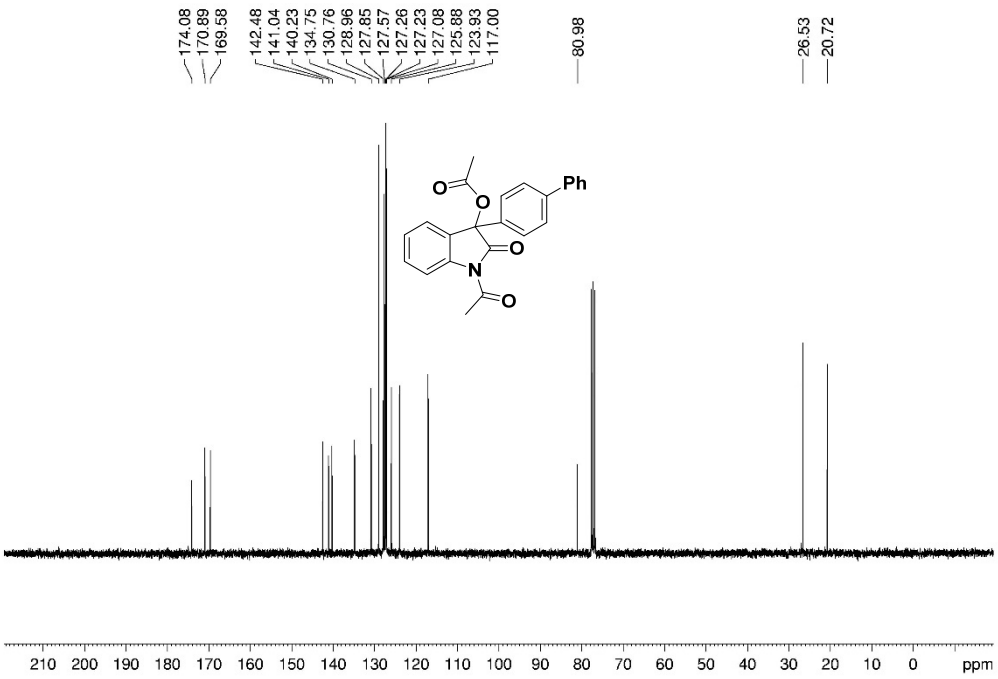
^{13}C NMR ($CDCl_3$, 25 ºC) of **4j**



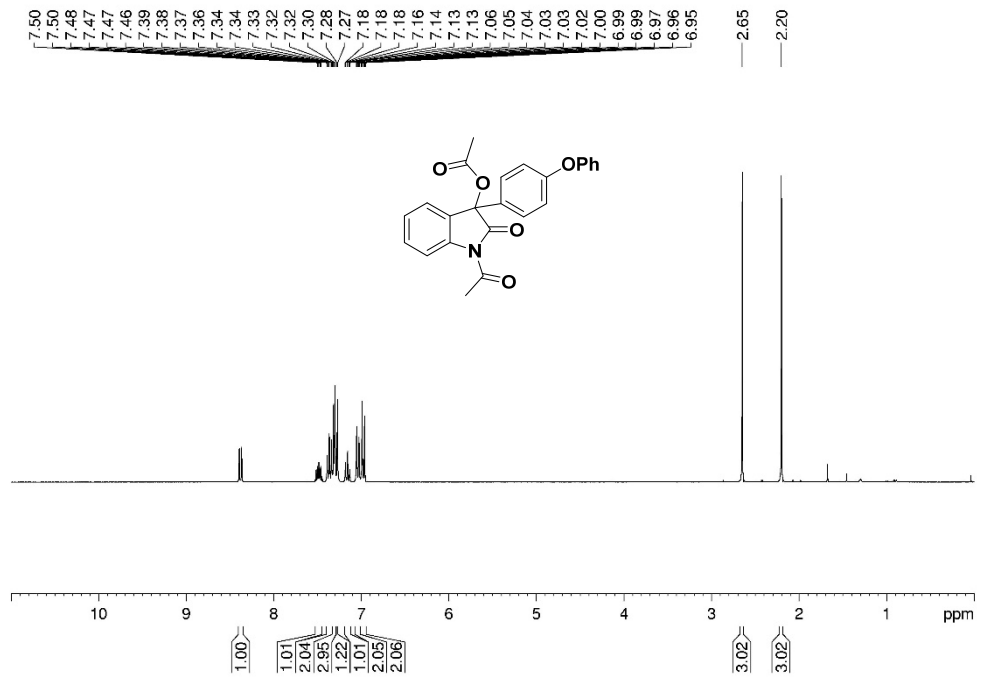
^{1}H NMR ($CDCl_3$, 25 ºC) of **4k**



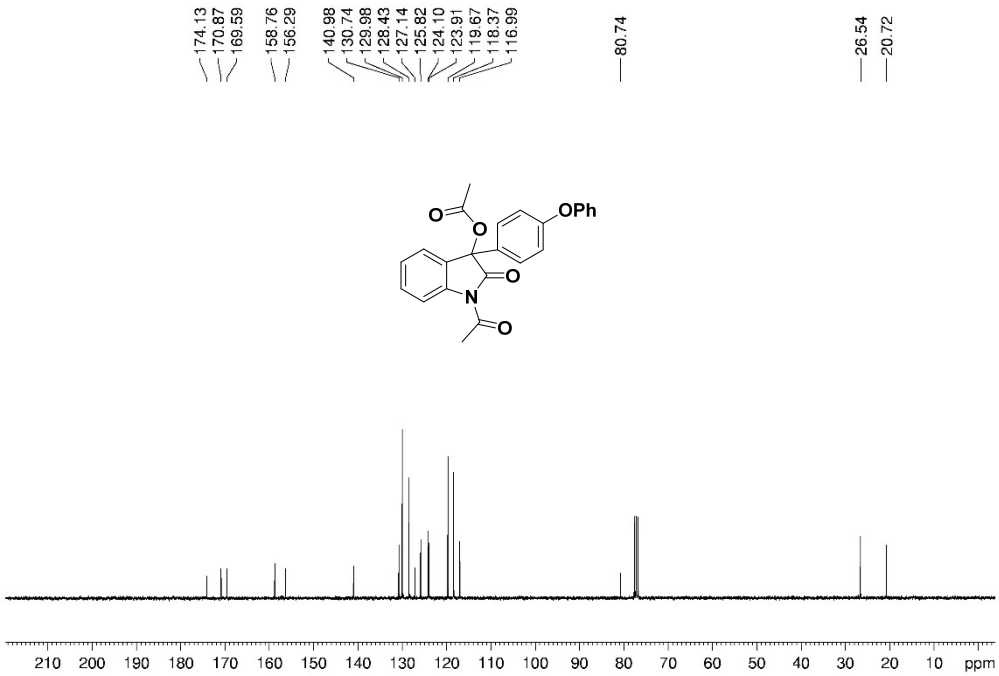
^{13}C NMR ($CDCl_3$, 25 ºC) of **4k**



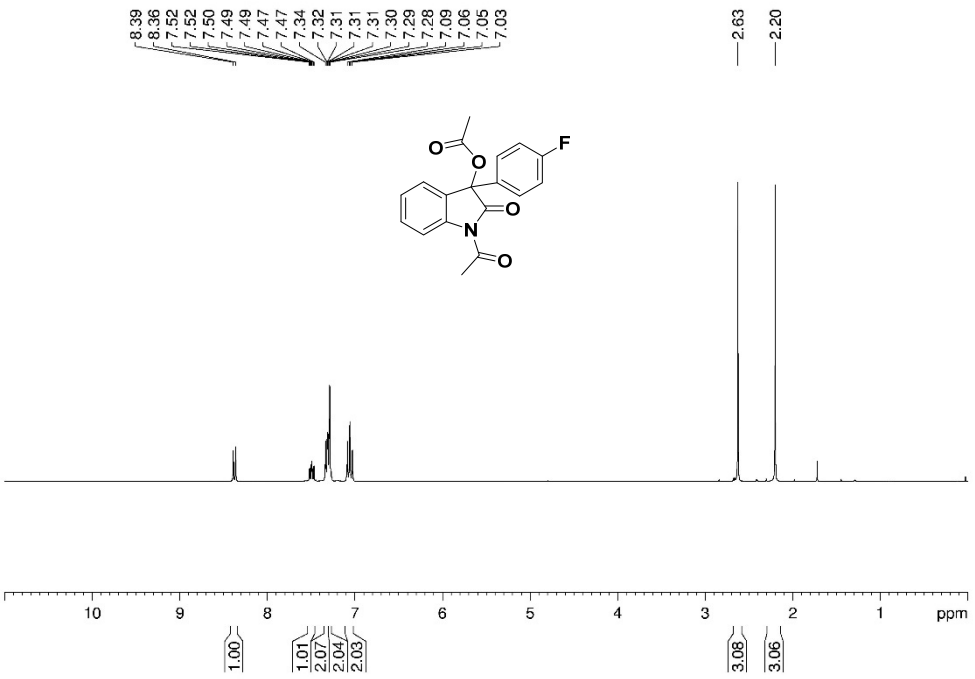
^{1}H NMR ($CDCl_3$, 25 ºC) of **4l**



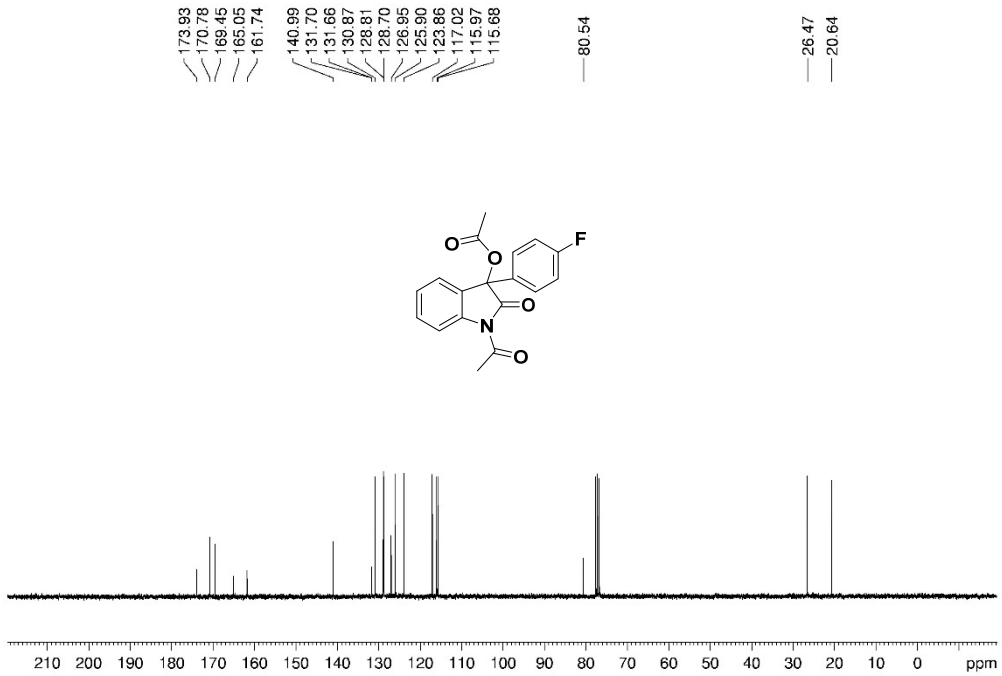
^{13}C NMR ($CDCl_3$, 25 ºC) of **4l**



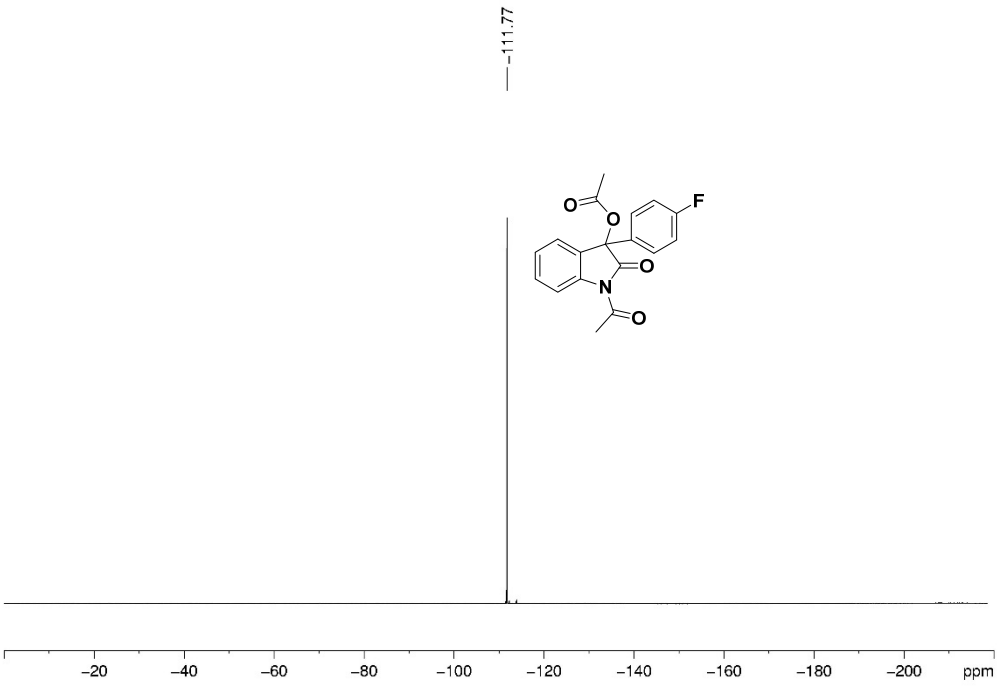
^{1}H NMR ($CDCl_3$, 25 ºC) of **4m**



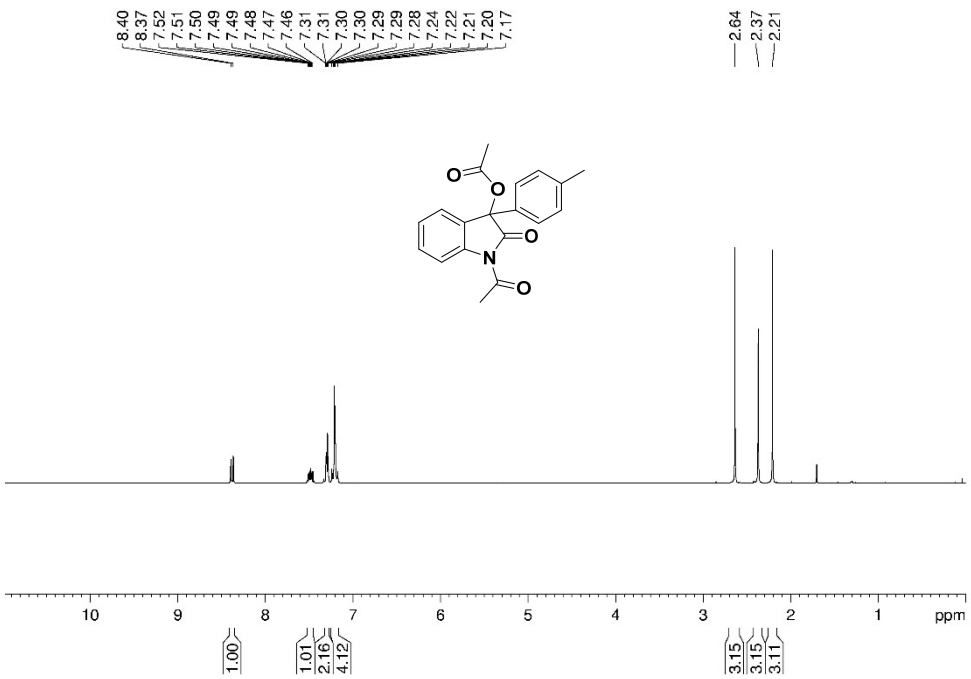
^{13}C NMR ($CDCl_3$, 25 ºC) of **4m**



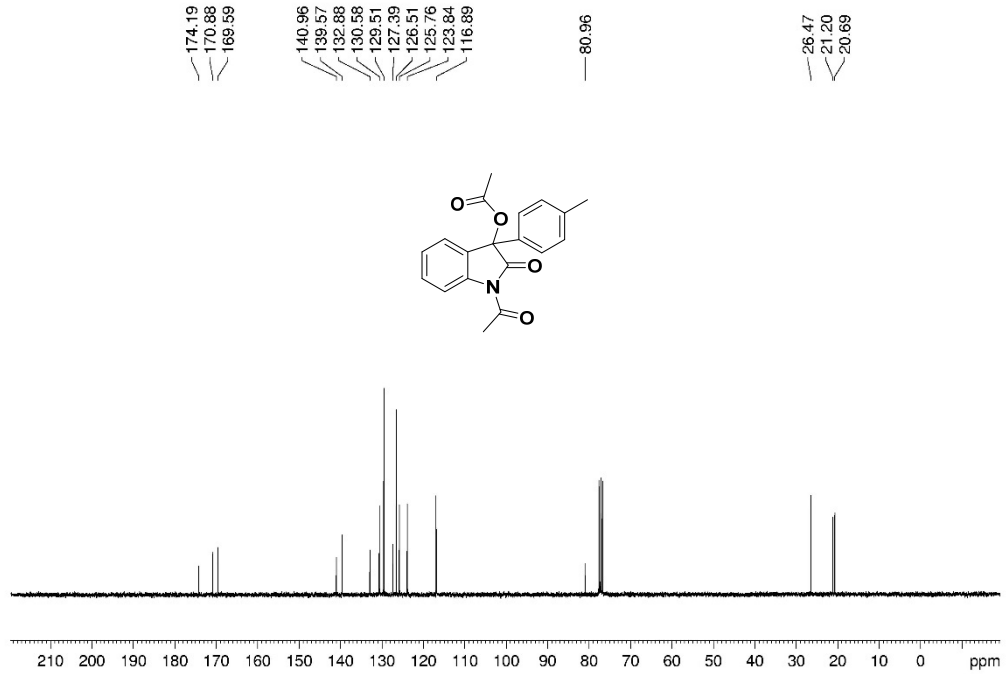
^{19}F NMR ($CDCl_3$, 25 ºC) of **4m**



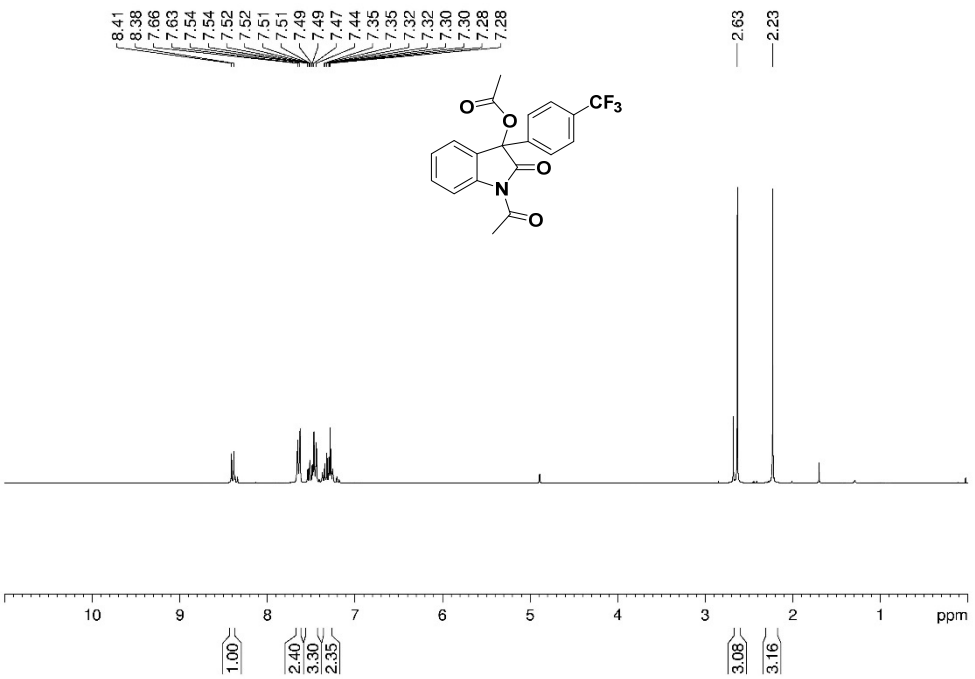
^{1}H NMR ($CDCl_3$, 25 ºC) of **4n**



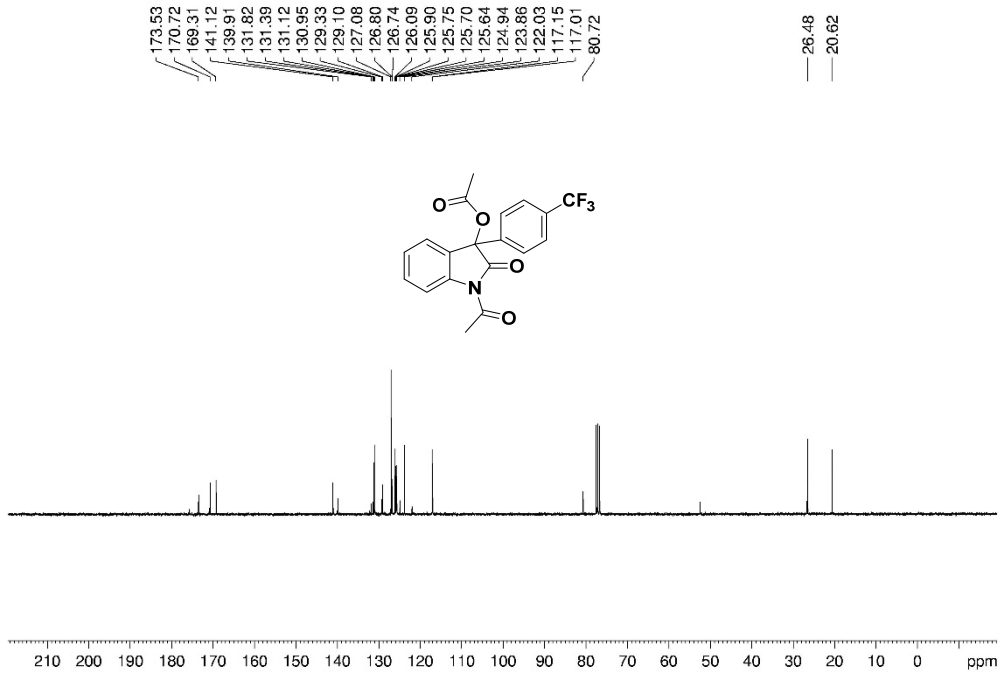
^{13}C NMR ($CDCl_3$, 25 ºC) of **4n**



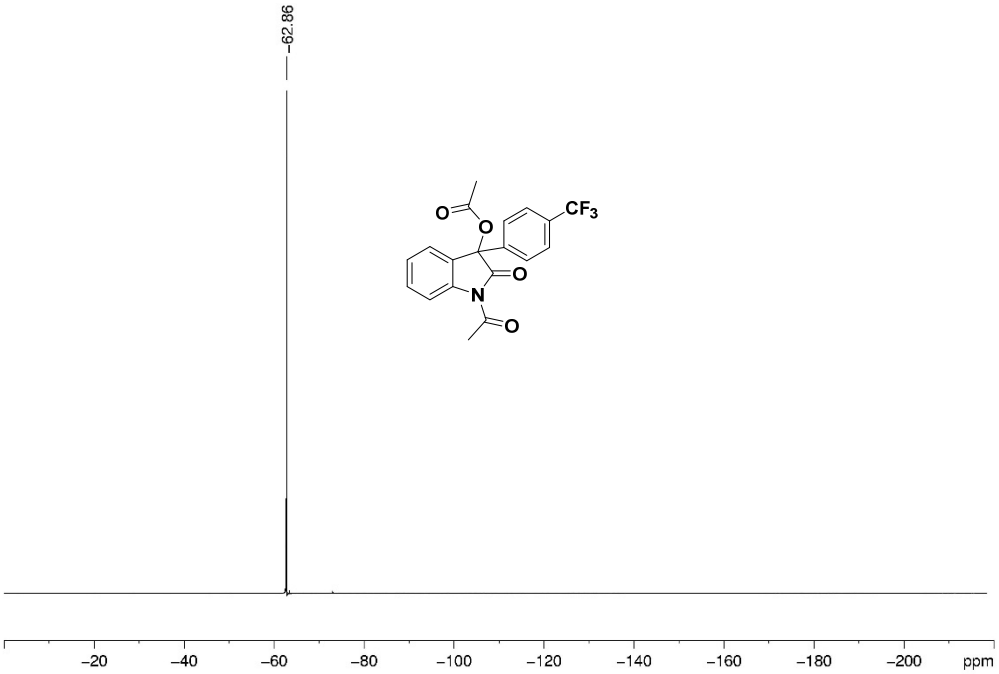
^{1}H NMR ($CDCl_3$, 25 ºC) of **4o**



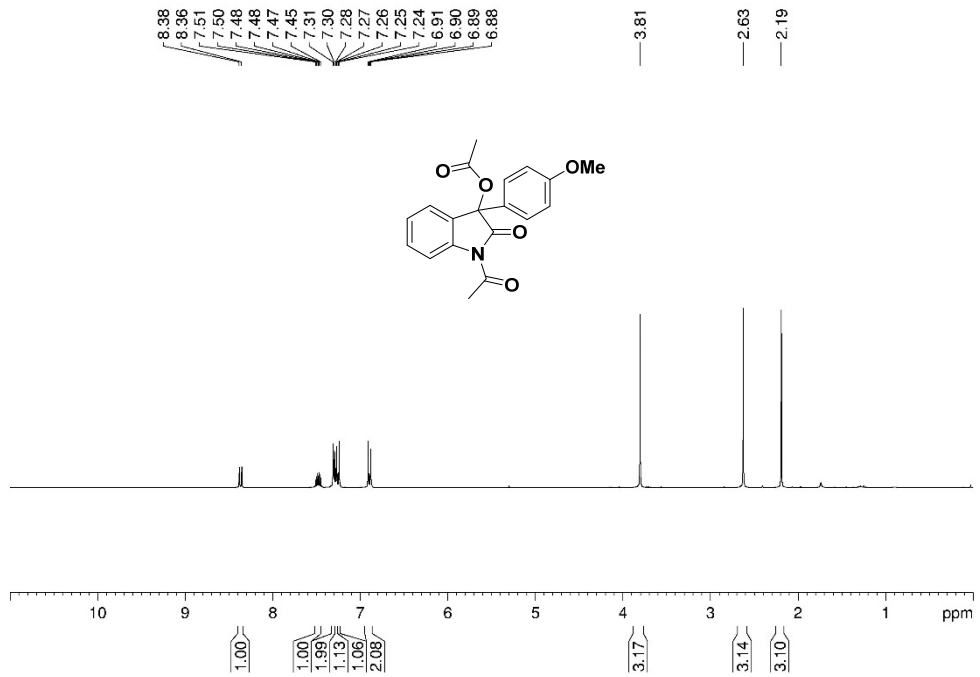
^{13}C NMR ($CDCl_3$, 25 ºC) of **4o**



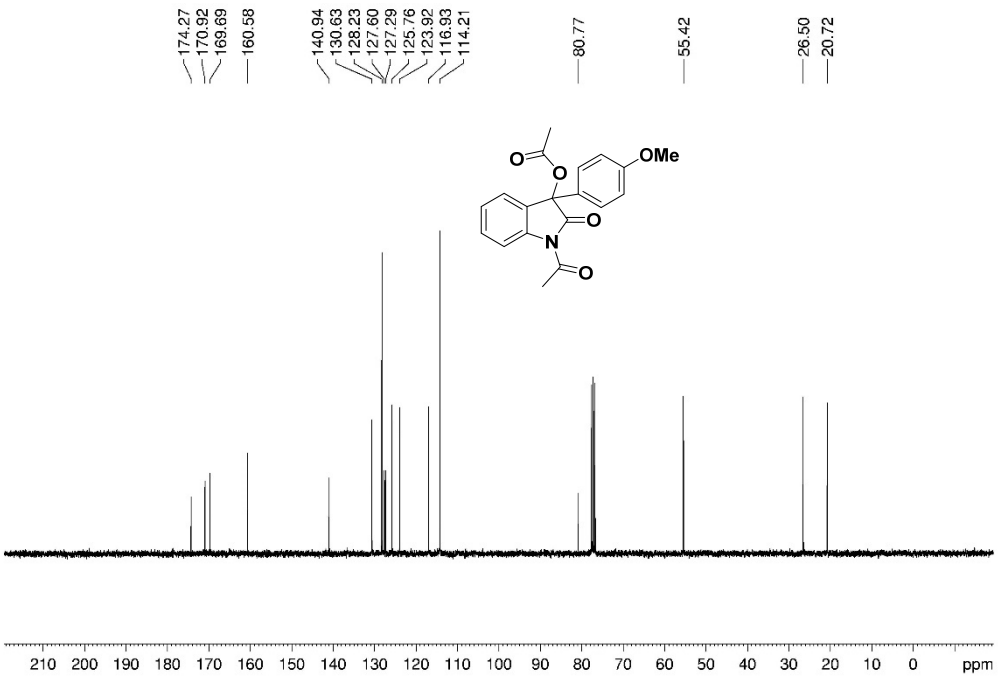
^{19}F NMR ($CDCl_3$, 25 ºC) of **4o**



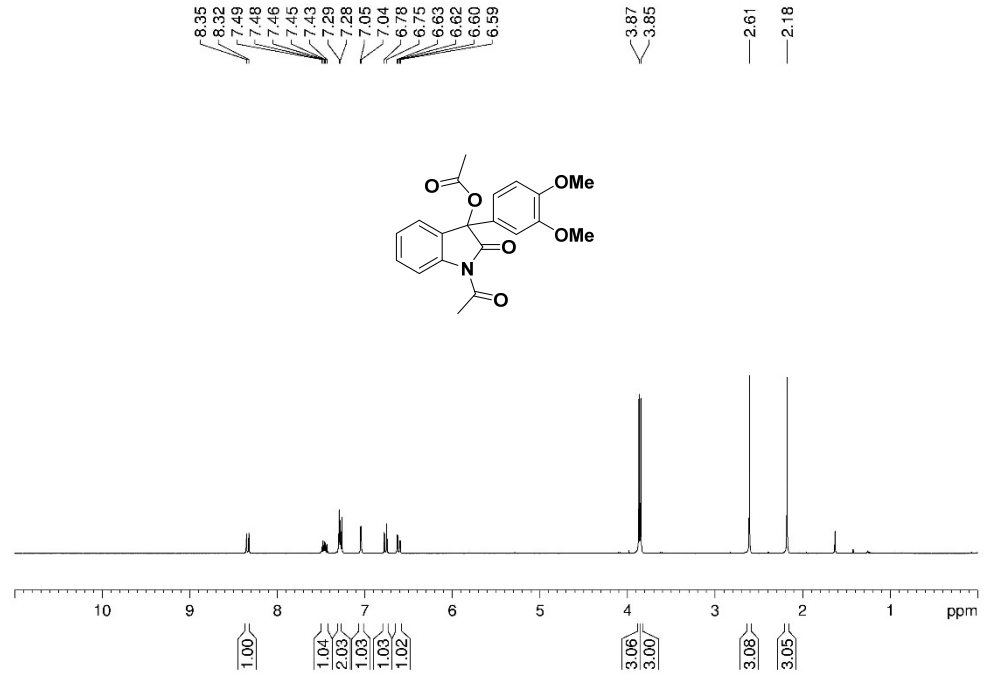
^{1}H NMR ($CDCl_3$, 25 ºC) of **4p**



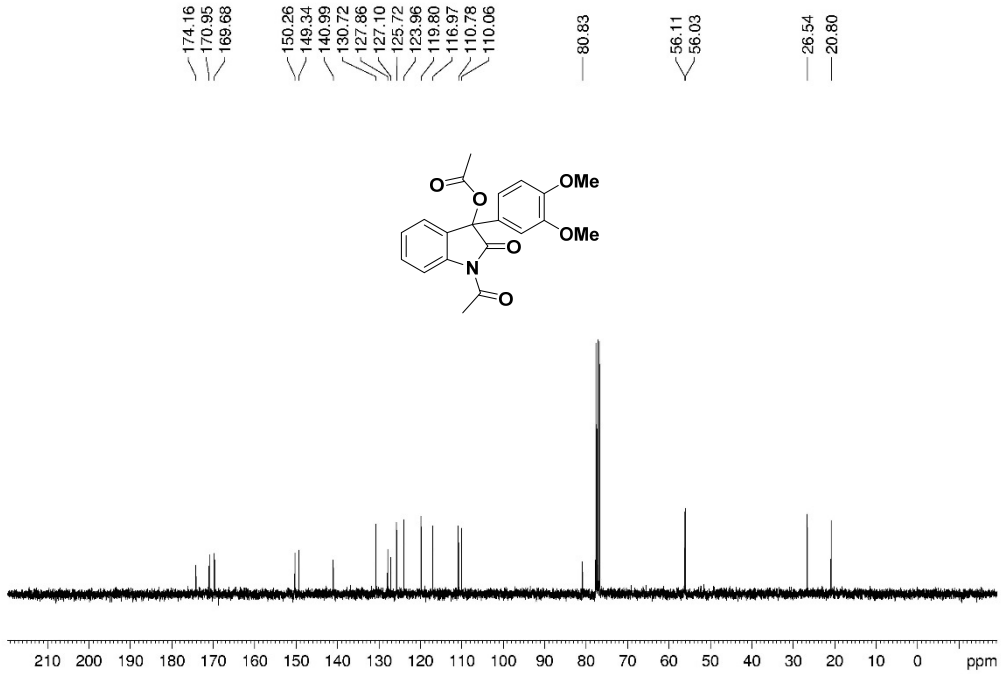
^{13}C NMR ($CDCl_3$, 25 ºC) of **4p**



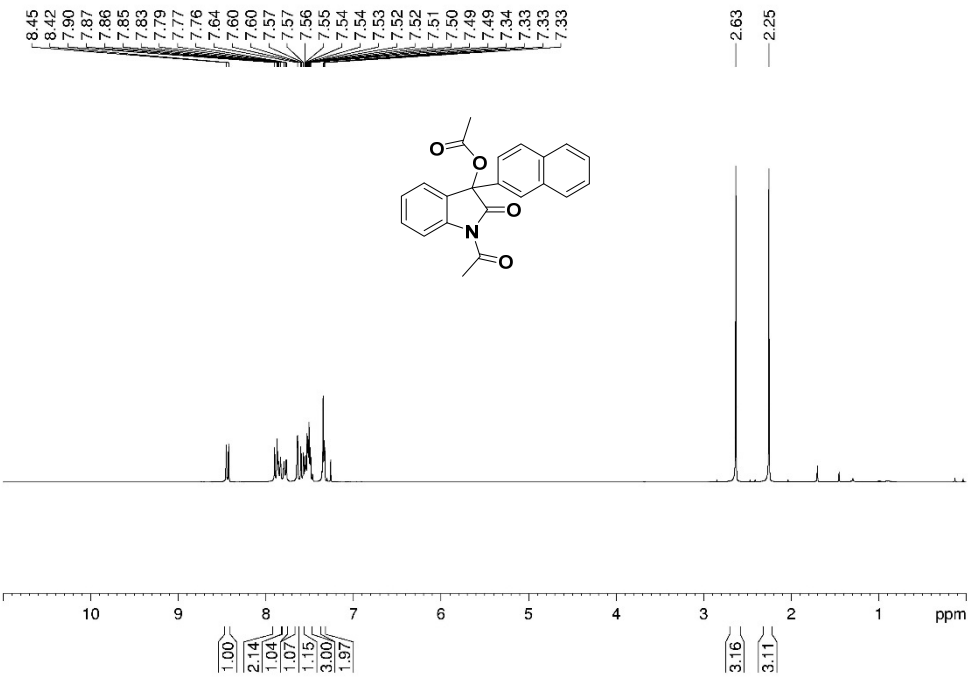
^{1}H NMR ($CDCl_3$, 25 ºC) of **4q**



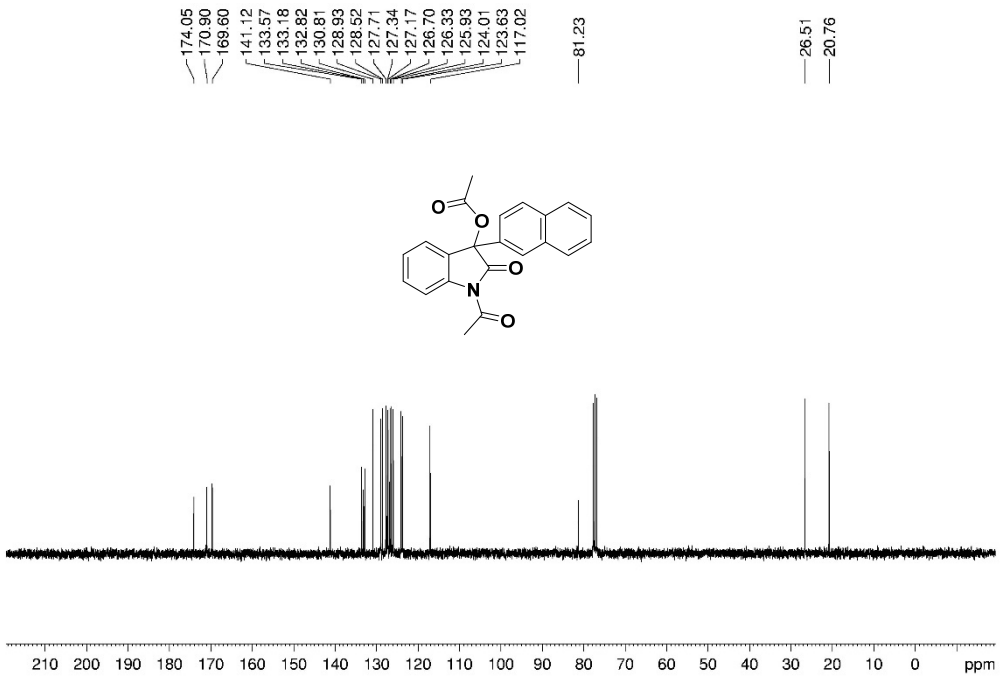
^{13}C NMR ($CDCl_3$, 25 ºC) of **4q**



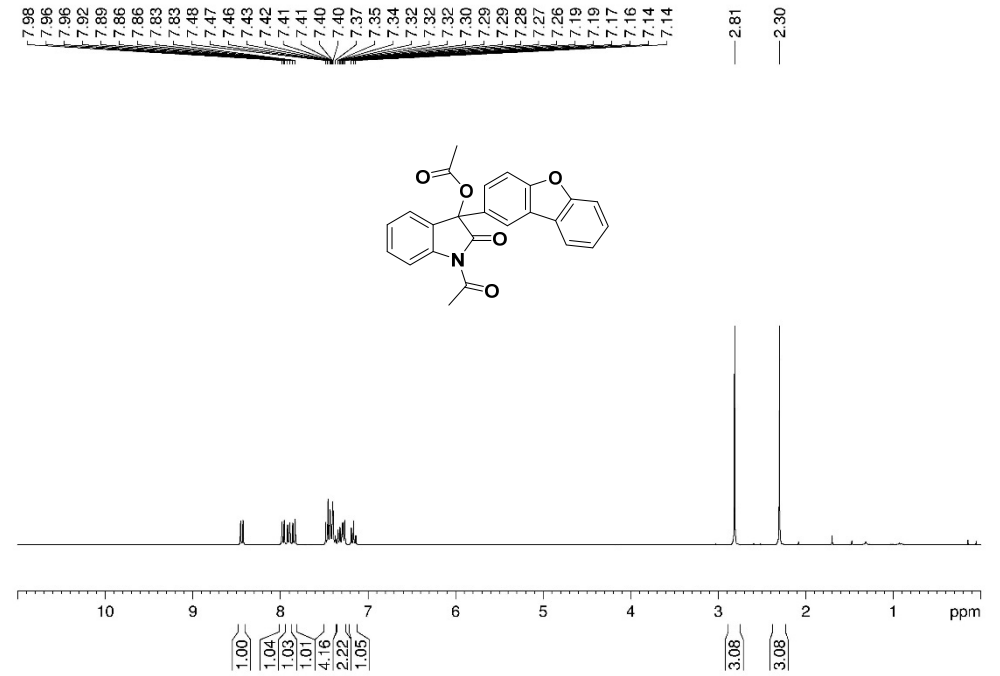
^{1}H NMR ($CDCl_3$, 25 ºC) of **4r**



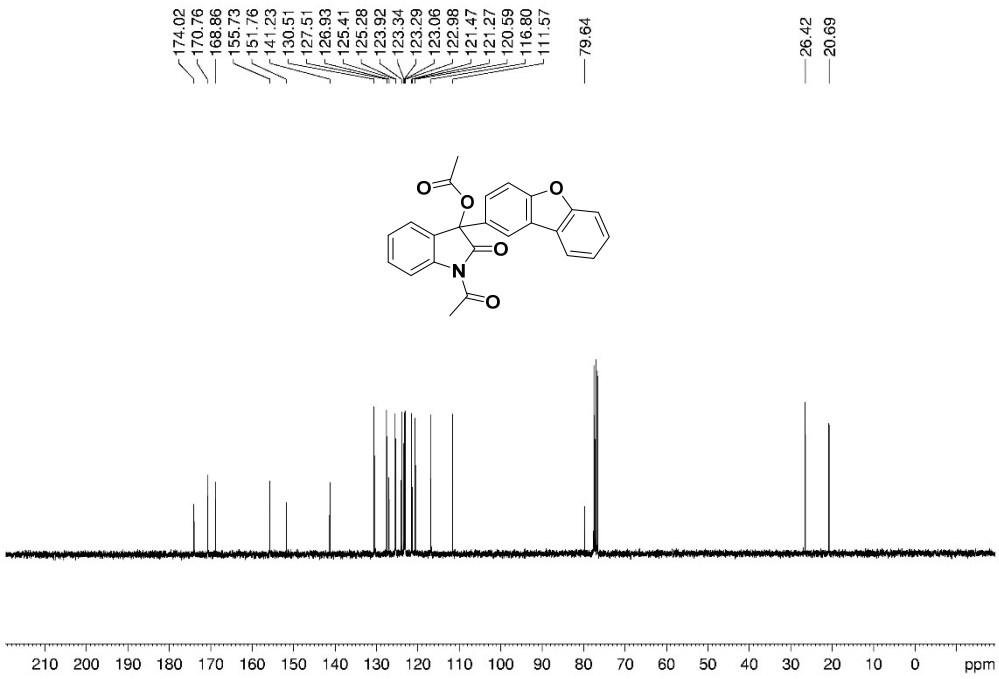
^{13}C NMR ($CDCl_3$, 25 ºC) of **4r**



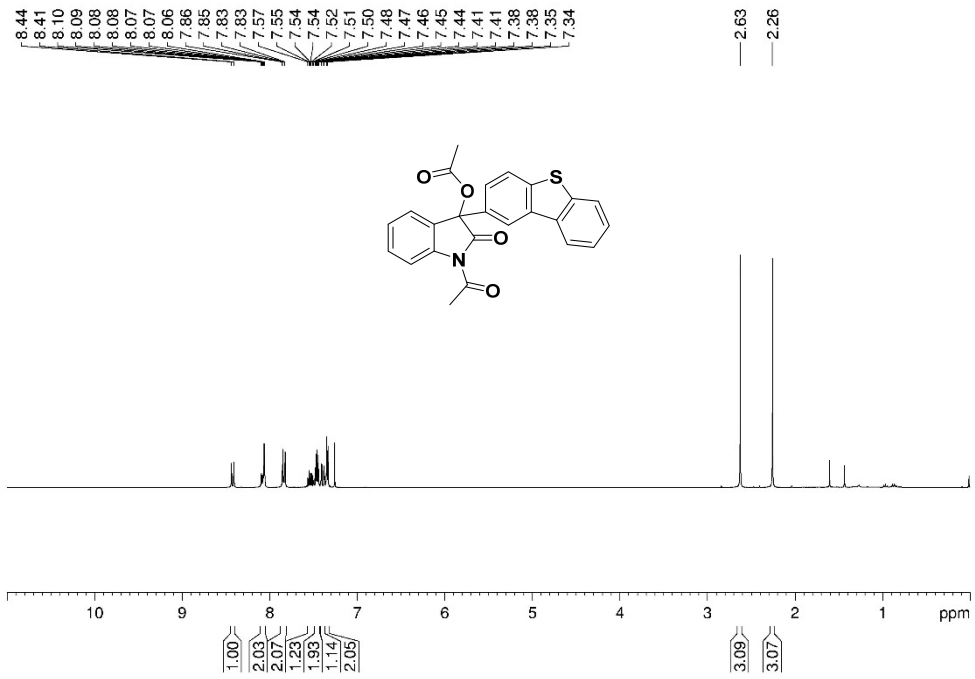
^{1}H NMR ($CDCl_3$, 25 ºC) of **4s**



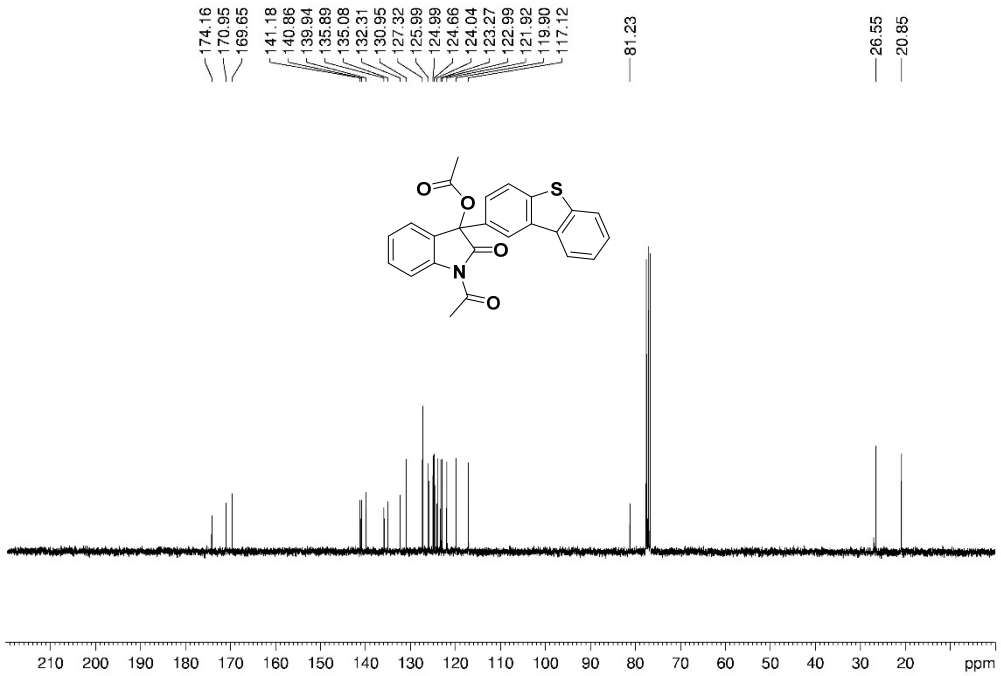
^{13}C NMR ($CDCl_3$, 25 ºC) of **4s**



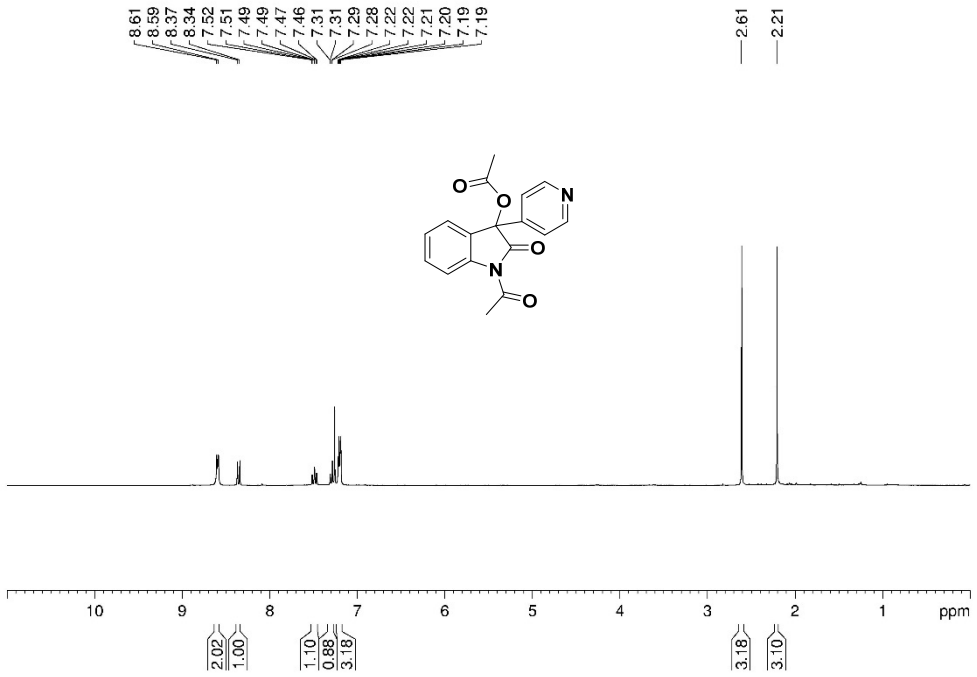
^{1}H NMR ($CDCl_3$, 25 ºC) of **4t**



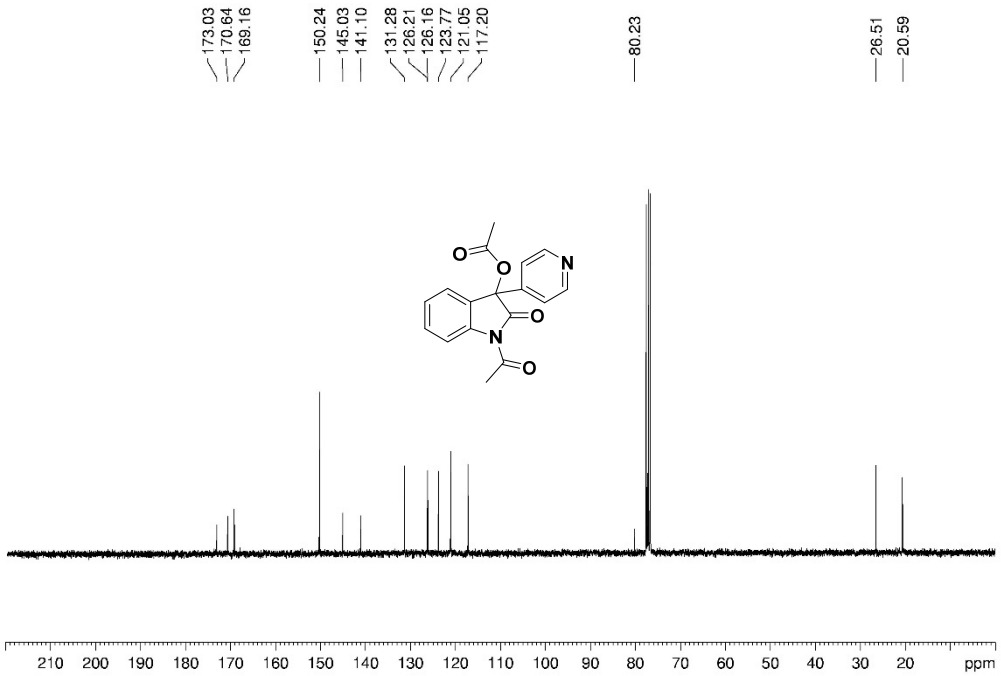
^{13}C NMR ($CDCl_3$, 25 ºC) of **4t**



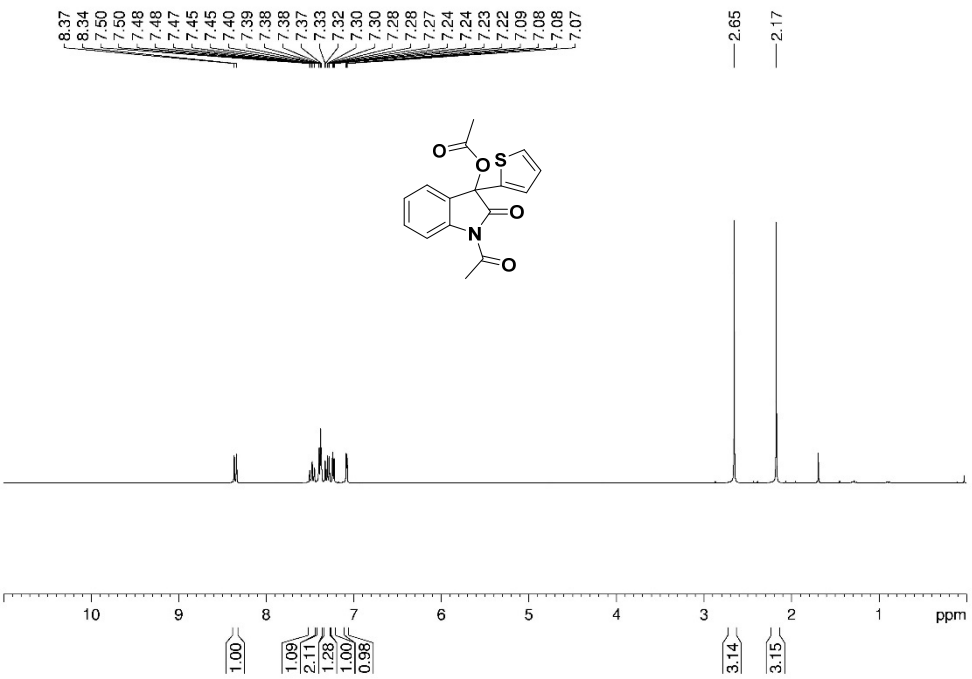
^{1}H NMR ($CDCl_3$, 25 ºC) of **4u**



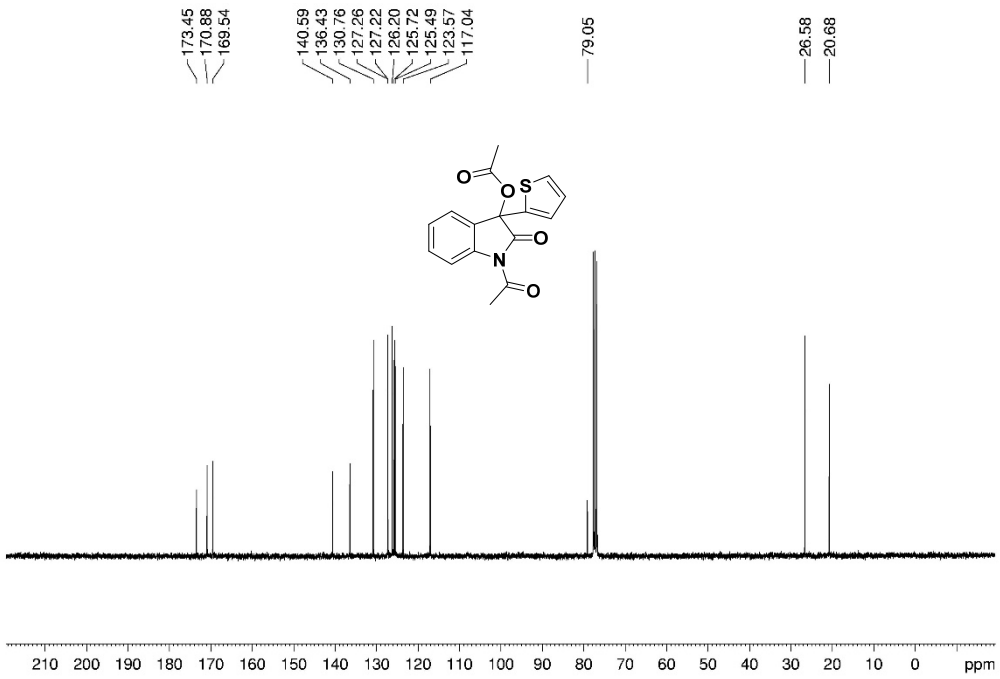
^{13}C NMR ($CDCl_3$, 25 ºC) of **4u**



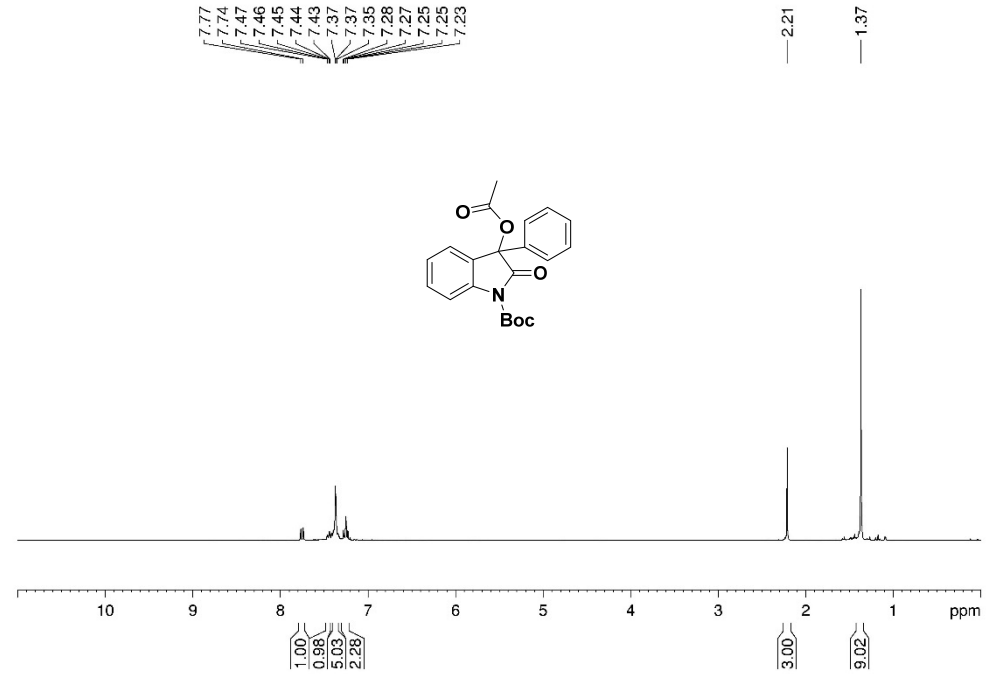
^{1}H NMR ($CDCl_3$, 25 ºC) of **4v**



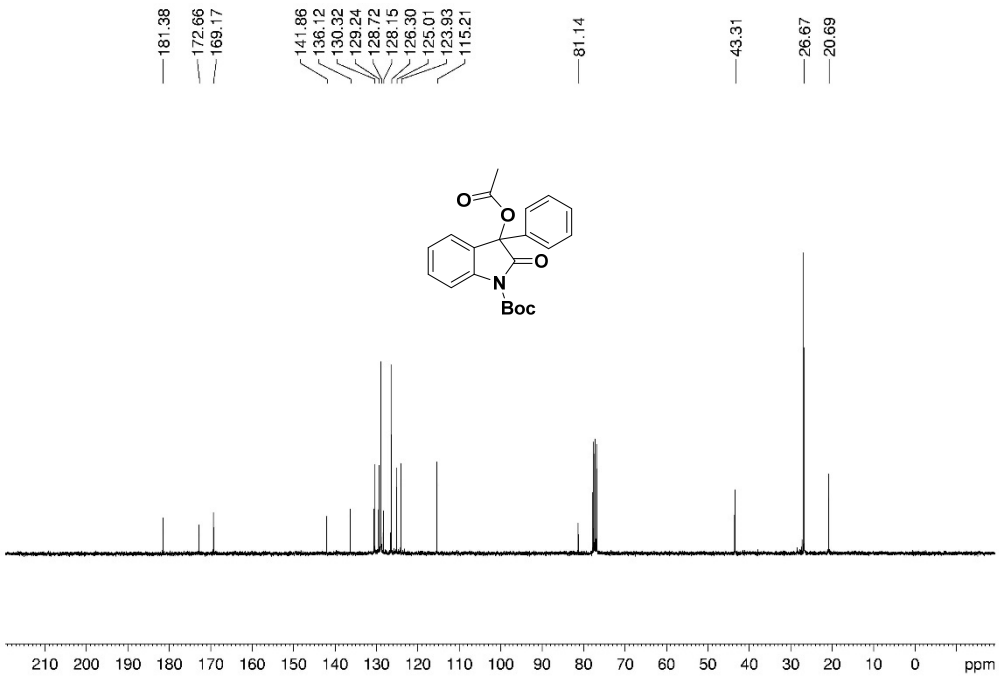
^{13}C NMR ($CDCl_3$, 25 ºC) of **4v**



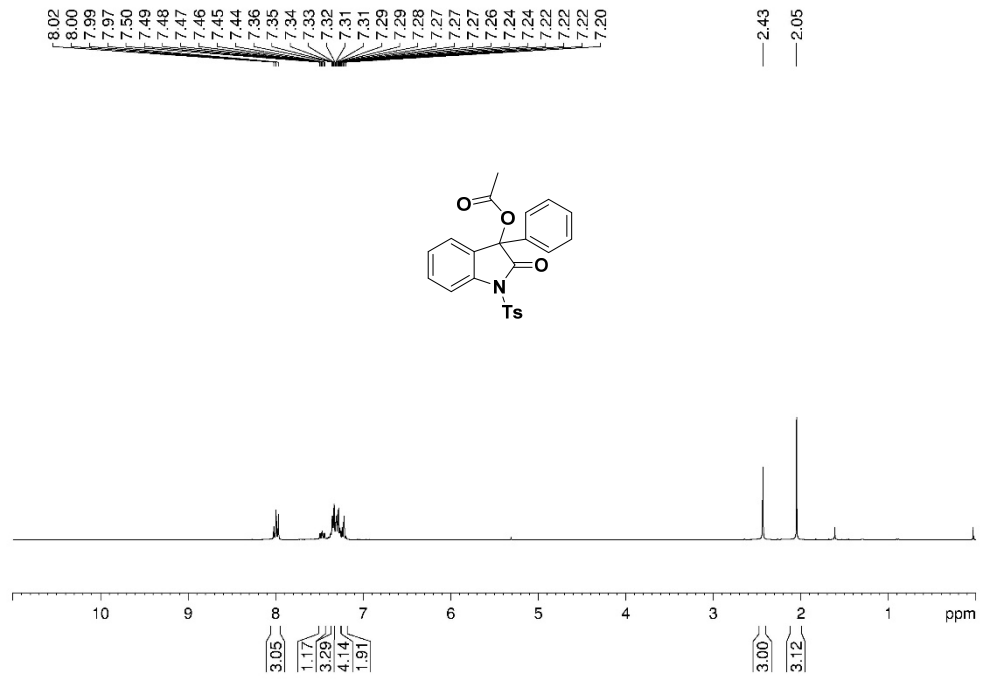
^{1}H NMR ($CDCl_3$, 25 ºC) of **4w**



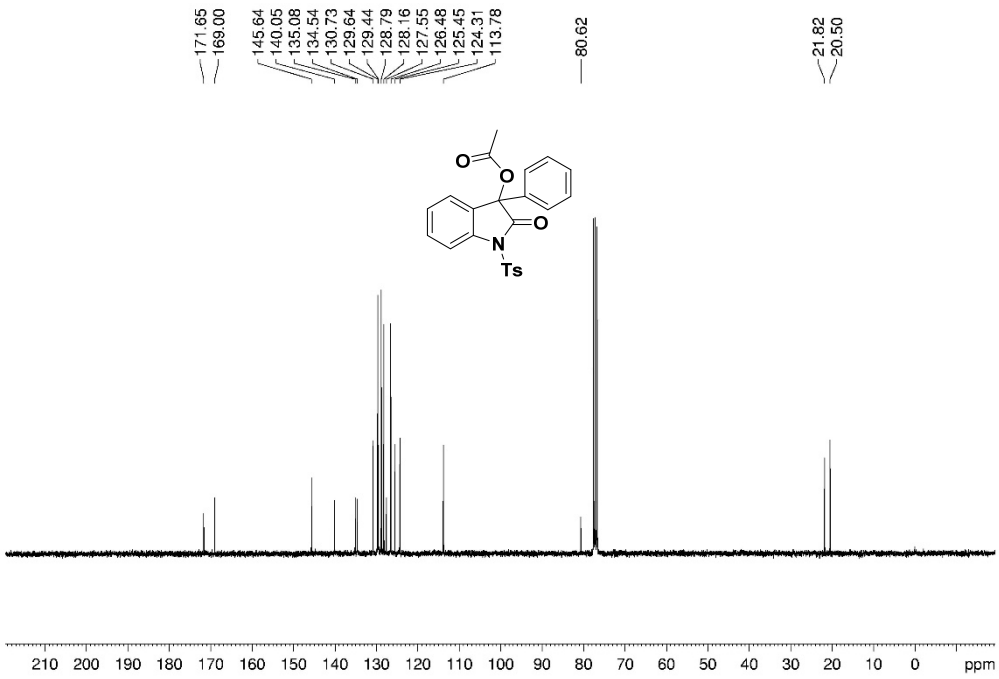
^{13}C NMR ($CDCl_3$, 25 ºC) of **4w**



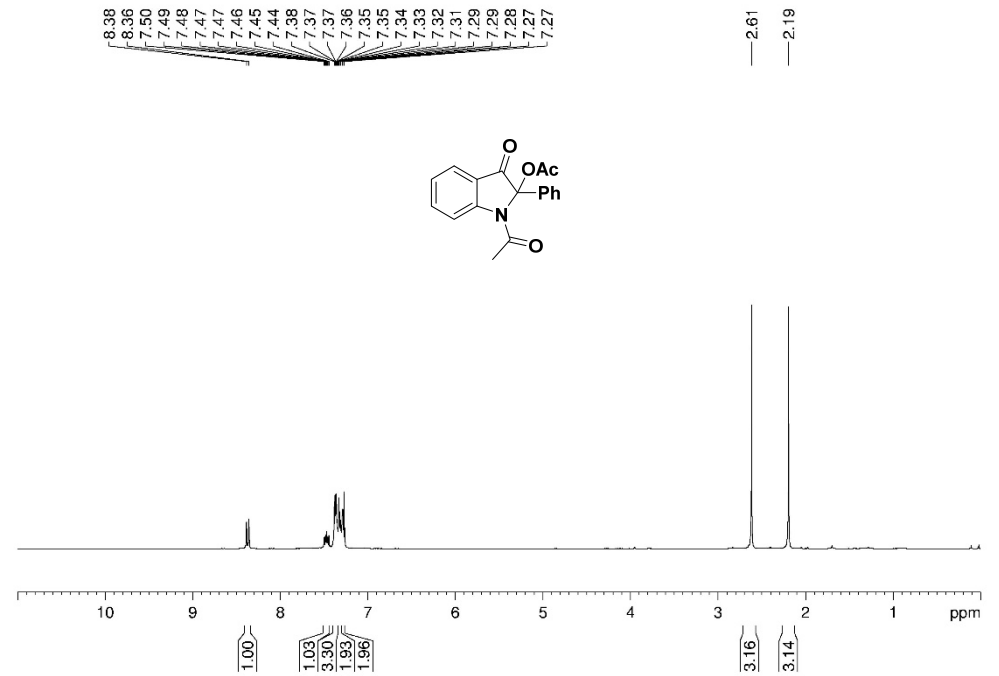
^{1}H NMR ($CDCl_3$, 25 ºC) of **4x**



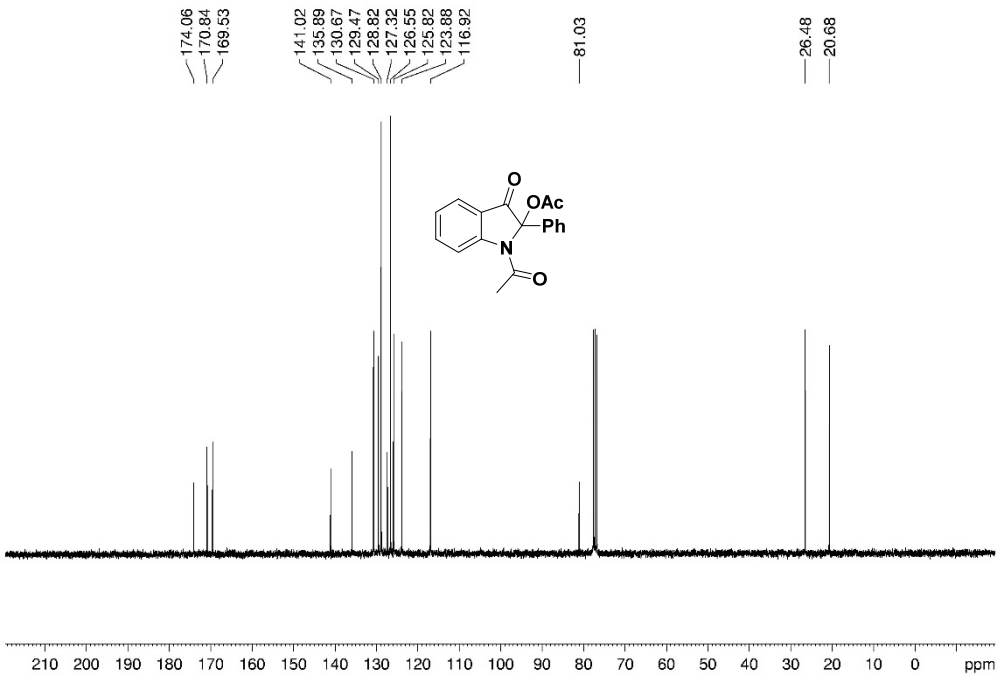
^{13}C NMR ($CDCl_3$, 25 ºC) of **4x**



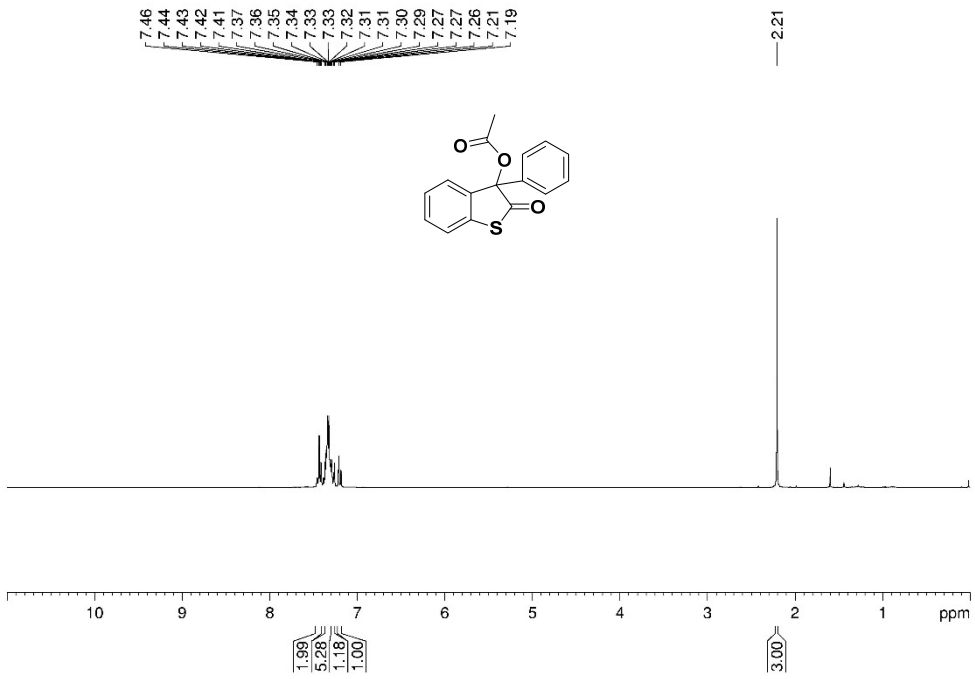
^{1}H NMR ($CDCl_3$, 25 ºC) of **4y**



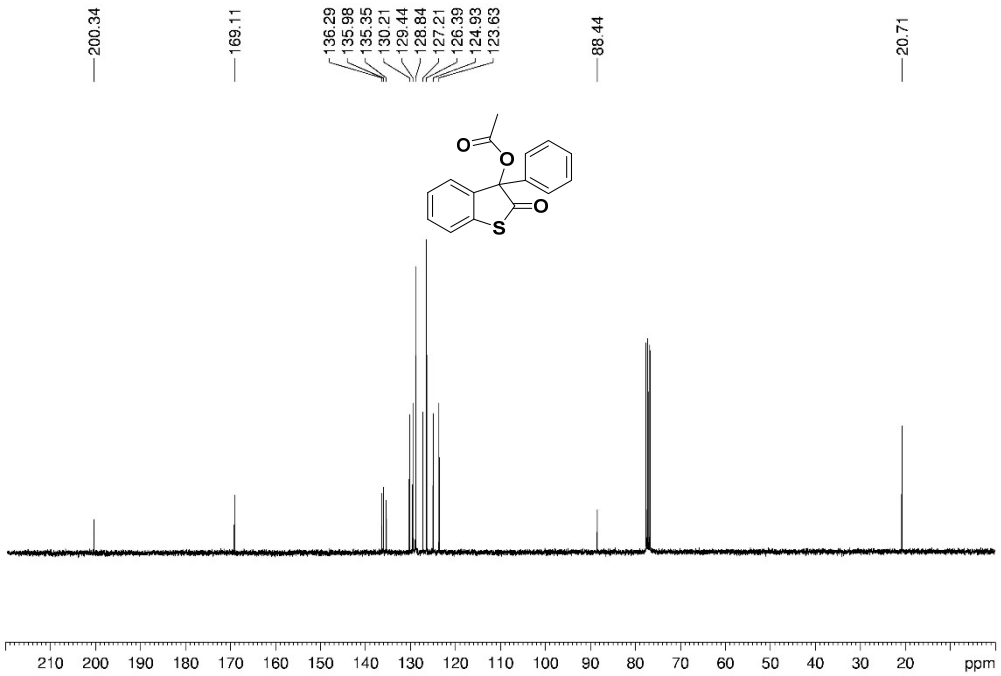
^{13}C NMR ($CDCl_3$, 25 ºC) of **4y**



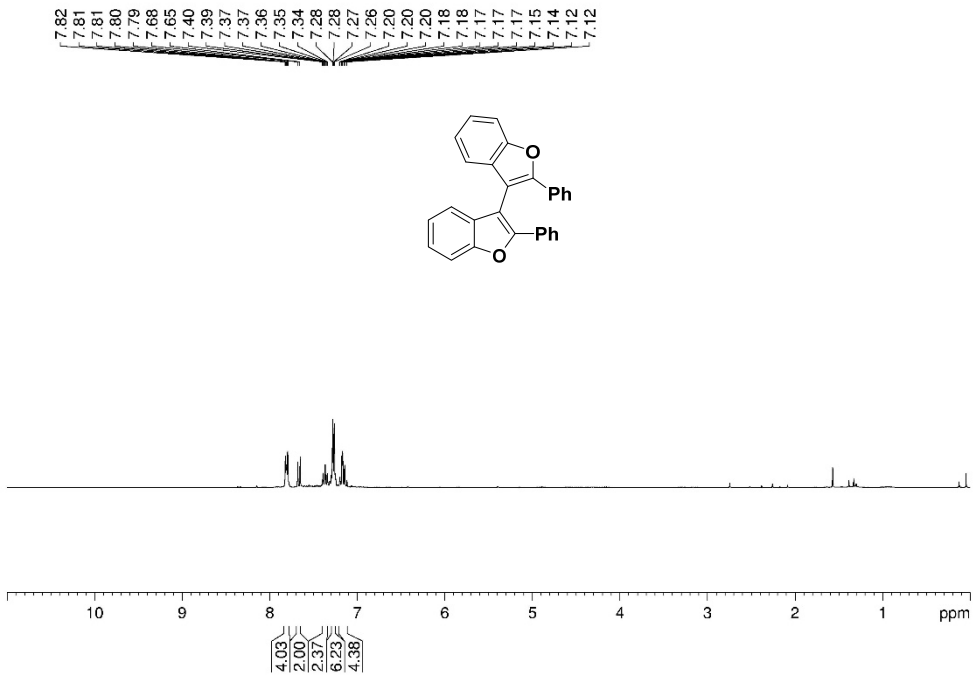
^{1}H NMR ($CDCl_3$, 25 ºC) of **4z**



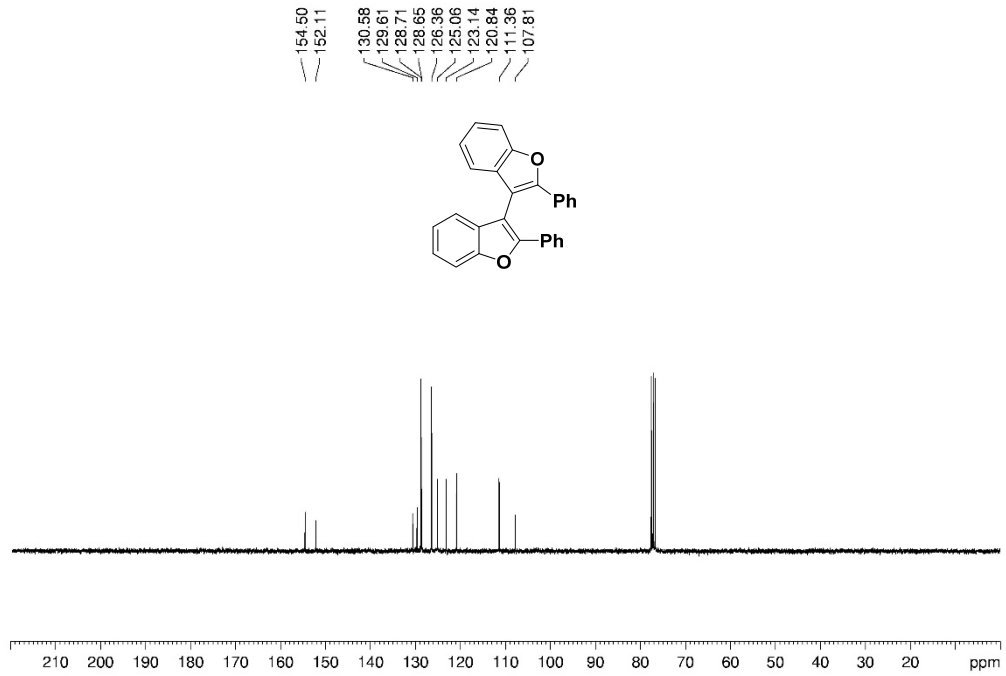
^{13}C NMR ($CDCl_3$, 25 ºC) of **4z**



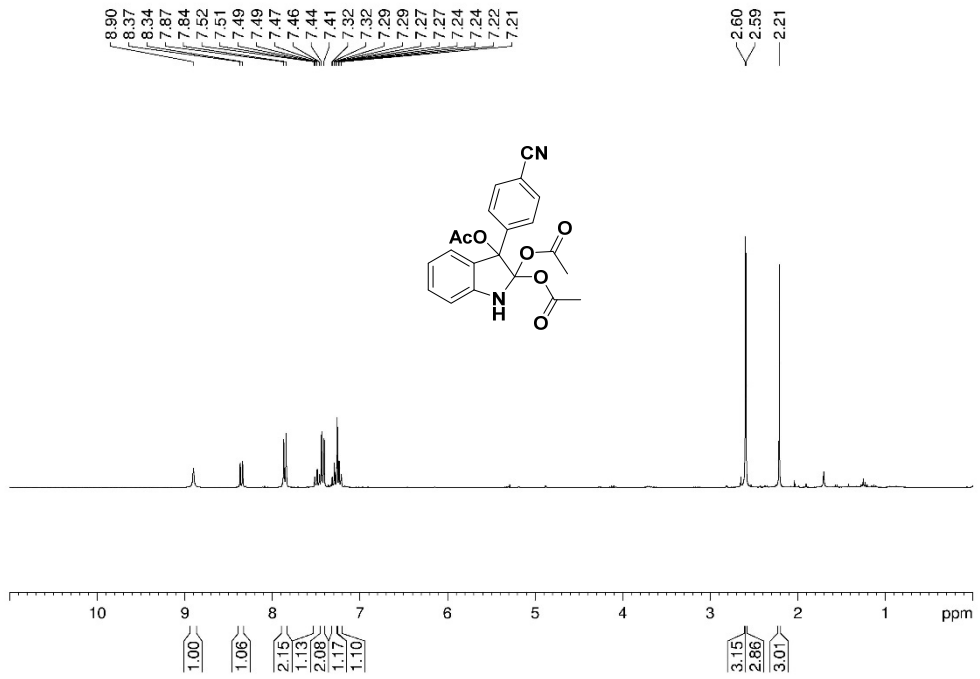
^{1}H NMR ($CDCl_3$, 25 ºC) of **10**



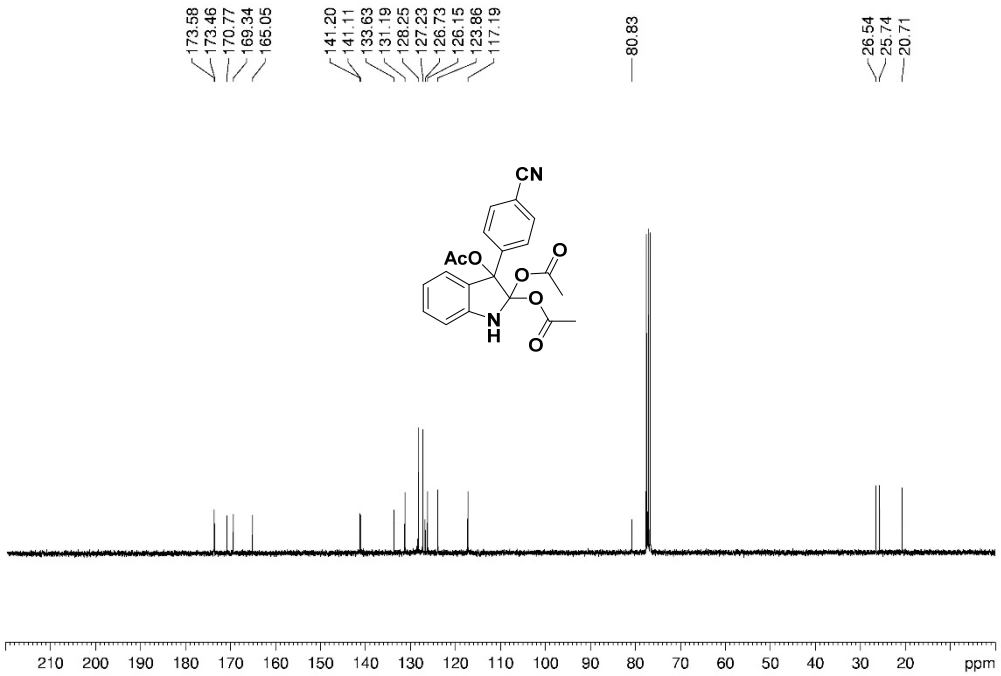
^{13}C NMR ($CDCl_3$, 25 ºC) of **10**



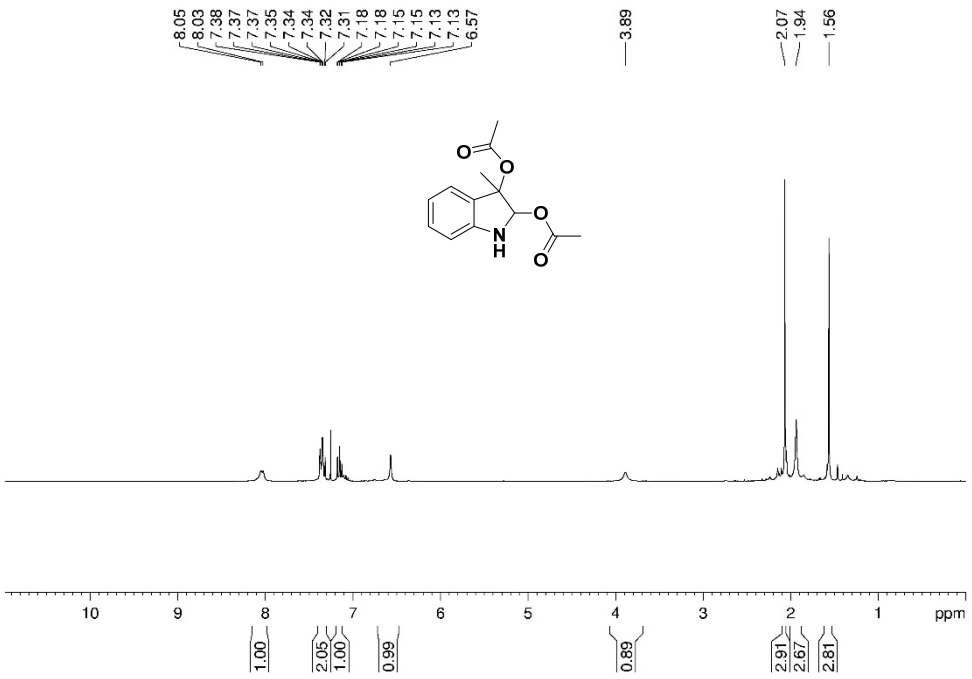
^{1}H NMR ($CDCl_3$, 25 ºC) of **11**



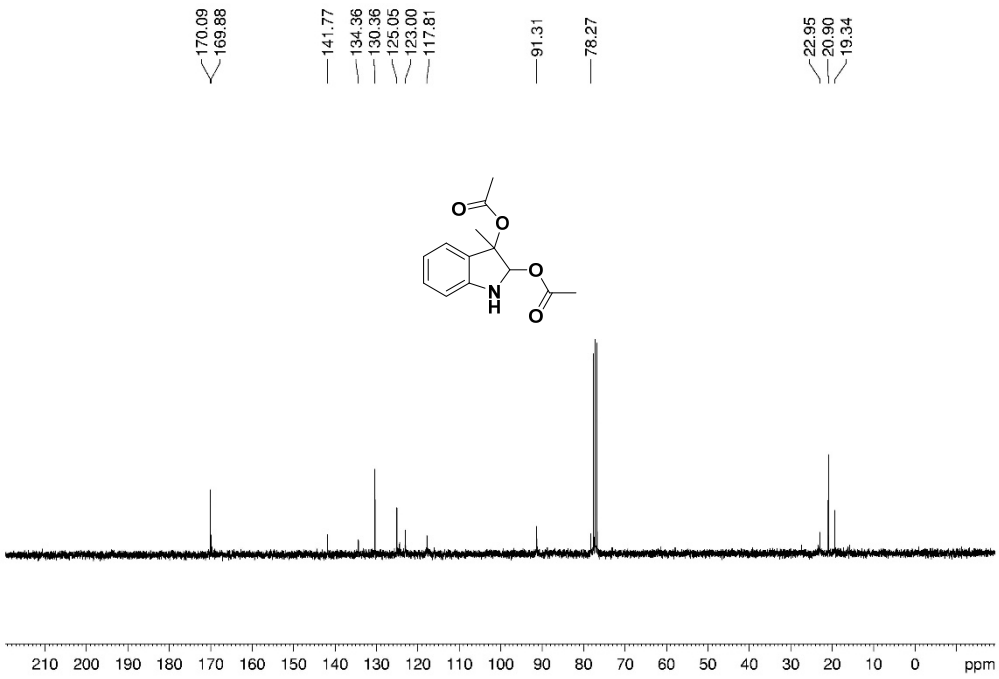
^{13}C NMR ($CDCl_3$, 25 ºC) of **11**



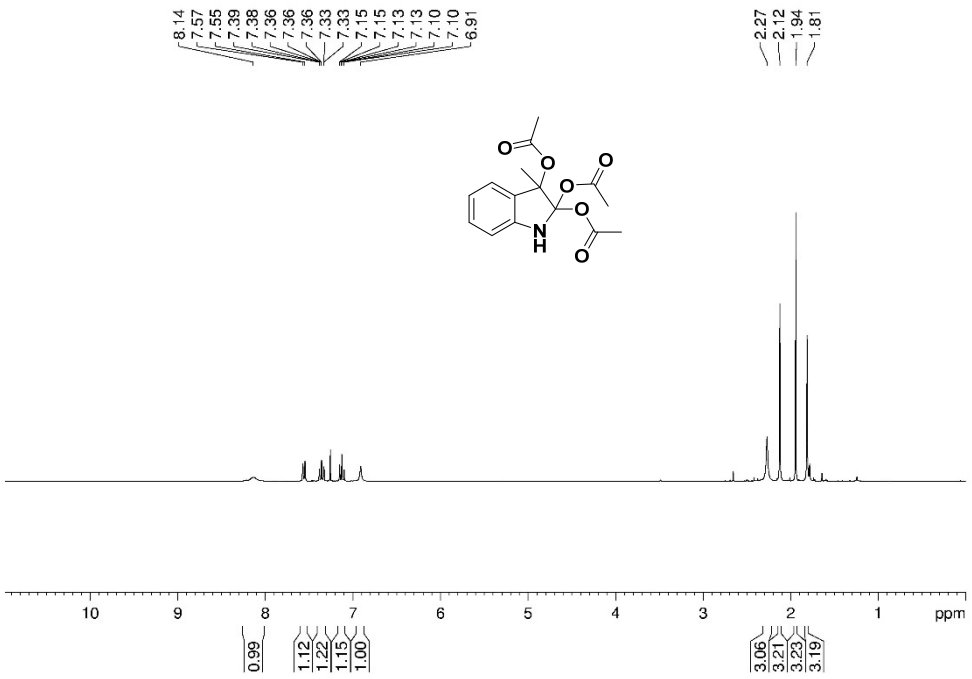
^{1}H NMR ($CDCl_3$, 25 ºC) of **12**



^{13}C NMR ($CDCl_3$, 25 ºC) of **12**



^{1}H NMR ($CDCl_3$, 25 ºC) of **13**



^{13}C NMR ($CDCl_3$, 25 ºC) of **13**