Supporting Information

Three-component synthesis of *α*-indole-*β*-sulfonyl tetrahydrofurans under metal-free conditions

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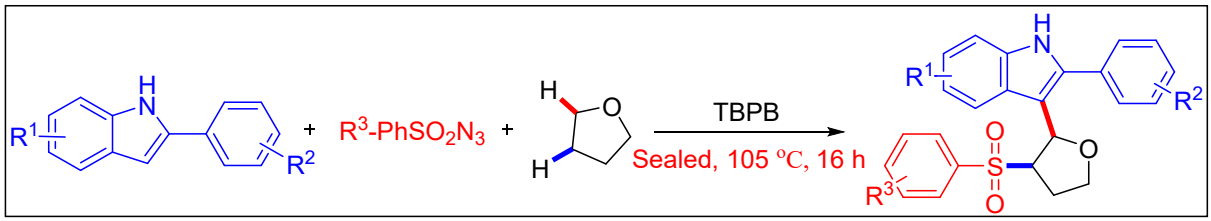
1. General information.

Unless otherwise noted, all of the reagents were used directly without purification. The reactions were performed using a WP-RH-1020 reactor from Xi'an WATTECS Experimental Equipment Co. Ltd. Melting points were measured on a microscopic apparatus and were uncorrected. ^{1}H NMR spectra were recorded on a Bruker DPX-400 (400 MHz) spectrometer in deuterated chloroform. The chemical shifts (δ) are reported in ppm relative to tetramethylsilane. The multiplicities of signals are designated by the following abbreviations: s (singlet), d (doublet), t (triplet), q (quartet), m (multiplet), dd (doublet of doublets), dt (doublet of triplets), td (triplet of doublets), ddd (doublet of doublet of doublets). Coupling constants (*J*) are reported in hertz (Hz). ^{19}F NMR spectra were recorded using a 376 MHz spectrometer. ^{13}C NMR spectra were recorded at 101 MHz on Bruker DPX-400. The chemical shifts are reported relative to residual $CHCl_3$ (δ c = 77.00 ppm). High resolution mass spectra (HRMS) were obtained on an Agilent LC-MSD-Trap-XCT spectrometer with micromass MS software using electrospray ionization (ESI). 2-phenylindol (**1a**), 4-methylbenzensulfonyl azide (**2a**), tetrahydrofuran (**3a**) is commercially available. 2-arylindole derivatives (**1b-1n**) [1, 2], and benzensulfonyl azide derivatives (**2e-2i**) [3] were prepared according to literatures

2. General catalytic procedure.



Under air atmosphere, a reaction tube (15 mL) equipped with a magnetic stirrer bar was charged with 2-arylindol (0.1 mmol), sulfonyl azide (0.11 mmol), TBPB (2.5 equiv.), H_2O (75 μL) in THF (1 mL). The reaction mixture was stirred at 105 °C for 16 h, and then extracted with EtOAc (10 mL×3). The solvent was removed under reduced pressure and the residue was purified by chromatography on silica gel (elute: EtOAc/petroleum ether 1:2) to give the desired product. The structure was established on ^{1}H NMR COSY (^{1}H - ^{1}H), COSY (^{13}C - ^{1}H) (HSQC and HMBC), 2D-NOESY (^{1}H - ^{1}H) spectrum (see characterization data **4k** page 31 - 34).

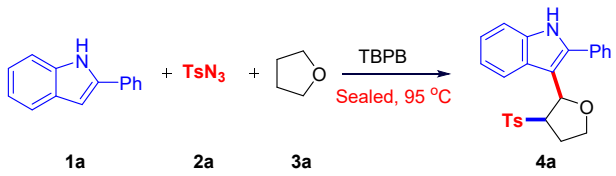
3. References:

1. (*a*) S. K. Bhunia, A. Polley, R. Natarajan and R. Jana, Through-Space 1,4-Palladium Migration and 1,2-Aryl Shift: Direct Access to Dibenzo[*a*, *c*]carbazoles through a Triple C-H Functionalization Cascade, *Chem. Eur. J.*, 2015, **21**, 16786-16791; (*b*) W.-L. Chen, S.-Y. Wu, X.-L. Mo, L.-X. Wei, C. Liang and D.-L. Mo, Synthesis of 2-Aminobenzonitriles through Nitrosation Reaction and Sequential Iron(III)-Catalyzed C-C Bond Cleavage of 2-Arylindoles, *Org. Lett.*, 2018, **20**, 3527-3530; (*c*) S. Pradhan, C. K. Shahi, A. Bhattacharyya and M. K. Ghorai, Stereoselective Synthesis of 3-Spiropiperidino Indolenines *via* S_N2-type Ring Opening of Activated Aziridines with 1*H*-indoles/Pd-Catalyzed Spirocyclization with Propargyl Carbonates, *Chem. Commun.*, 2018, **54**, 8583-8586.

2. (*a*) C. Shen, R.-R. Liu, R.-J. Fan, Y.-L. Li, T.-F. Xu, J.-R. Gao, Y.-X Jia, Enantioselective Arylative Dearomatization of Indoles *via* Pd-Catalyzed Intramolecular Reductive Heck Reactions, *J. Am. Chem. Soc.*, 2015, **137**, 4936-4939; (*b*) P. Ni, J. Tan, W. Zhao, H. Huang, F. Xiao and G.-J. Deng, Metal-Free Double Csp^2–H Bond Functionalization: Strategy for Synthesizing Benzo[*a*]carbazoles from 2-Arylindoles and Acetophenones/Alkynes, *Org. Lett.*, 2019, **21**, 3687-3691; (*c*) Y.-L. Wei, J.-Q. Chen, B. Sun and P.-F Xu, Synthesis of Indolo[2,1-*a*]isoquinoline Derivatives *via* Visible-Light-Induced Radical Cascade Cyclization Reactions, *Chem. Commun.*, 2019, **55**, 5922-5925.

3. (*a*) H. Kim and T.-L. Choi, Preparation of a Library of Poly(*N*-sulfonylimidates) by Cu-Catalyzed Multicomponent Polymerization, *ACS Macro Lett.*, 2014, **3**, 791-794; (*b*) D. Meyer and P. Renaud, Enantioselective Hydroazidation of Trisubstituted Non-Activated Alkenes, *Angew. Chem., Int. Ed.*, 2017, **56**, 10858-10861; (*c*) D. Das and R. Samanta, Iridium(III)-Catalyzed Regiocontrolled Direct Amidation of Isoquinolones and Pyridones, *Adv. Synth. Catal.*, 2018, **360**, 379-384.

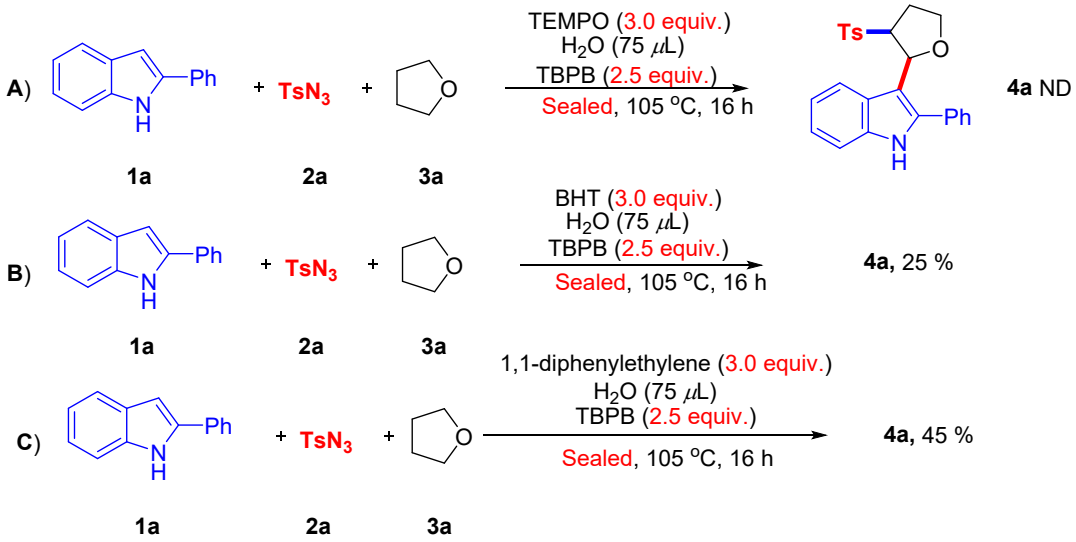
4. Optimization of reaction conditions.



Entry	H_2O (μL)[a]	THF (mL)[b]	Yield (%)
1	50	2	20%
2	100	2	20%
3	150	2	30%
4	200	2	30%
5	250	2	25%
6	300	2	24%
7	**75**	**1**	**41%**

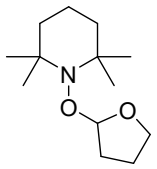
[a] H_2O：28 equiv. (50 μL), 56 equiv. (100 μL), 84 equiv. (150 μL)，112 equiv. (200 μL) 140 equiv. (250 μL), 168 equiv. (300 μL) [b] THF：commercial reagent from J&K scientific, pure: 99.9%, water: ≤50 ppm

5. Radical trapping experiments.



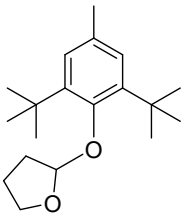
Under air atmosphere, three reaction tubes (15 mL) equipped with three magnetic stirrer bars were charged with 2-phenylindol **1a** (0.1 mmol), 4-methylphenylsulfonyl azide **2a** (0.11 mmol), TBPB (2.5 equiv.), H_2O (75 μL) in THF (1 mL). Subsequently, three radical scavengers including (2,2,6,6-tetramethylpiperidine)-1-oxyl (TEMPO 3.0 equiv.), 2,6-di-*tert*-butyl-4-methyl- phenol (BHT 3.0 equiv.) and 1,1-diphenylethylene (3.0 equiv.) were dissolved in THF respectively (reaction **A**, **B** and **C**). The reaction mixture was stirred at 105 °C for 16 h. After the reaction was carried out, no desired product **4a** was observed in reaction **A**. Product **4a** was obtained in 25%, 45% respectively in reactions **B** and **C**. And arylsulfonyl radical was trapped by BHT and 1,1-diphenylethylene, which were detected by HMSR.

a) 2,2,6,6-tetramethylpiperidinooxy (**TEMPO**)

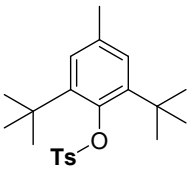


HRMS (ESI) m/z calcd for $C_{13}H_{26}NO_2^+$ $[M+H]^+$ 228.1958, found, 228.1960

b) 2,6-di-tert-butyl-4-methylphenol (**BHT**)



HRMS (ESI) m/z calcd for $C_{19}H_{30}NaO_2^+$ $[M+Na]^+$ 313.2138, found, 313.2137



HRMS (ESI) m/z calcd for $C_{22}H_{30}NaO_3S^+$ $[M+Na]^+$ 397.1808, found, 397.1807

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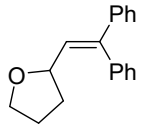
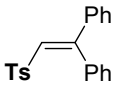
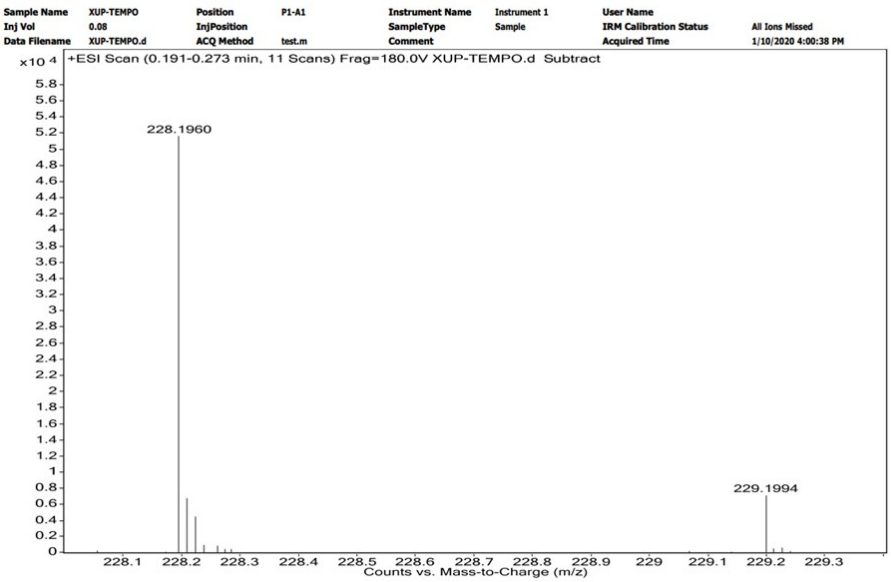
c) 1,1-diphenylethylene



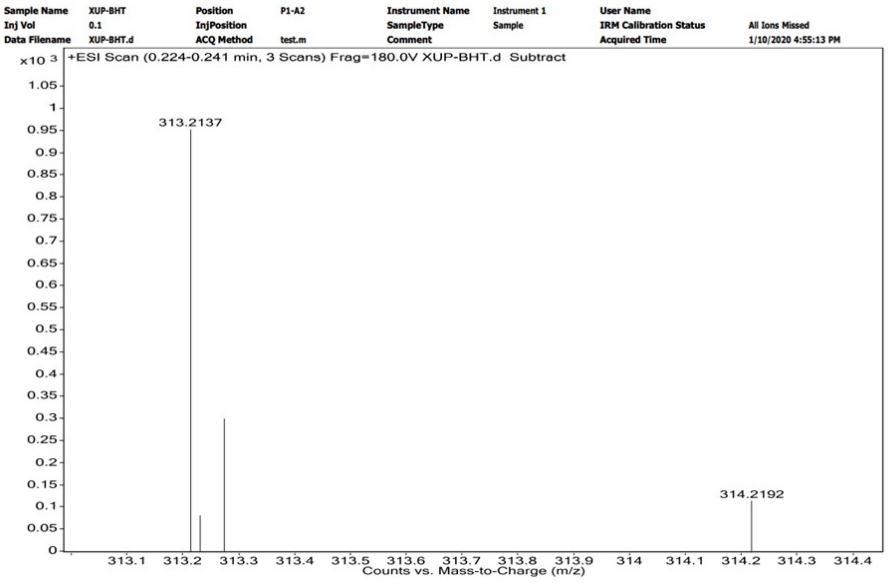
HRMS (ESI) m/z calcd for $C_{18}H_{19}O^+$ $[M+H]^+$ 251.1430, found, 251.1429



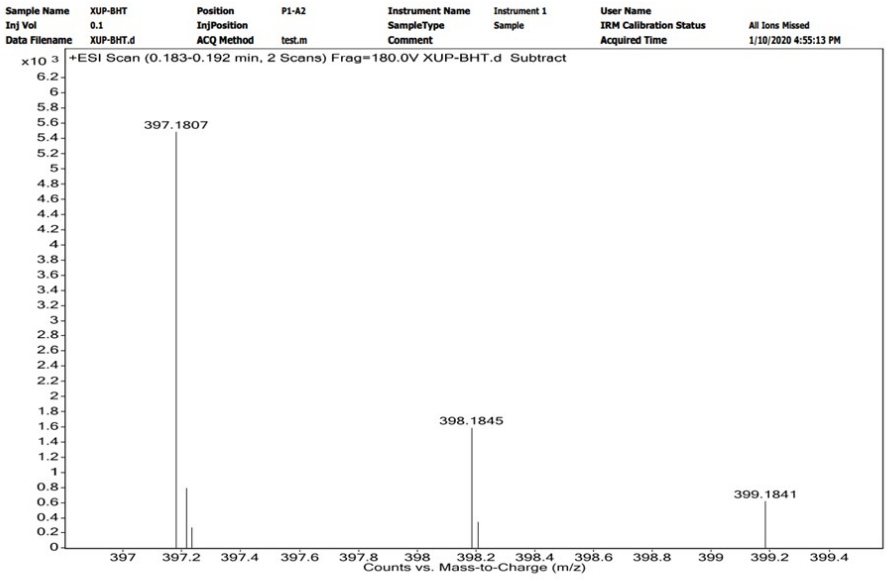
HRMS (ESI) m/z calcd for $C_{21}H_{19}O_2S^+$ $[M+H]^+$ 335.1100, found, 335.1098



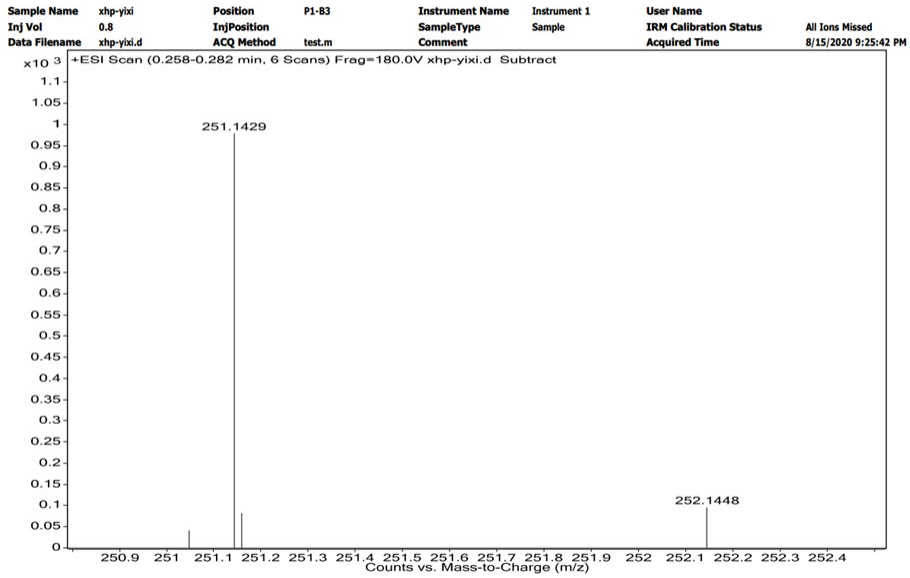
a) TEMPO- tetrahydrofuran radical



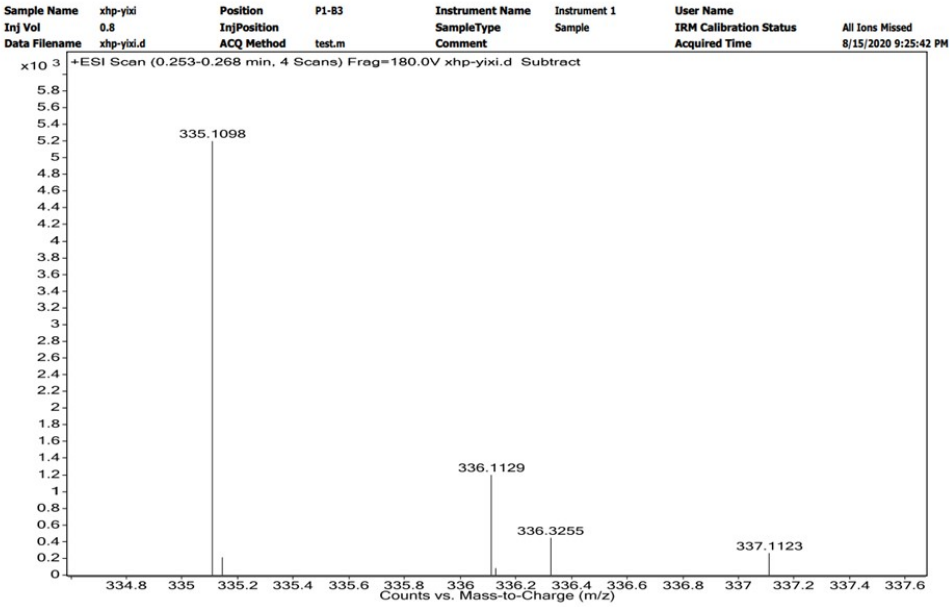
b) BHT- tetrahydrofuran radical



b) BHT- 4-methyl-phenylsulfonyl radical

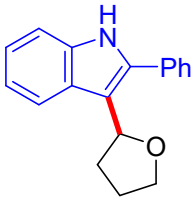


b) 1,1-diphenylethylene - tetrahydrofuran radical



b) 1,1-diphenylethylene - 4-methyl-phenylsulfonyl radical

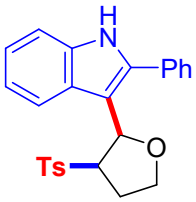
6. Characterization of the products



5a

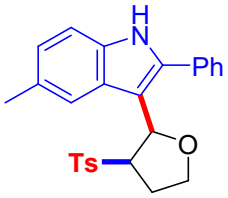
2-phenyl-3-(tetrahydrofuran-2-yl)-1H-indole (5a)

^{1}H NMR (400 MHz, Chloroform-d) δ 8.13 (s, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.51 – 7.49 (m, 2H), 7.45 – 7.41 (m, 2H), 7.39 – 7.35 (m, 1H), 7.32 (d, *J* = 8.0 Hz, 1H), 7.20 – 7.16 (m, 1H), 7.13 – 7.10 (m, 1H), 5.16 – 5.12 (m, 1H), 4.23 – 4.18 (m, 1H), 3.91 – 3.86 (m, 1H), 2.40–2.32 (m, 1H)，2.26 – 2.15 (m, 2H) 2.11 – 2.02 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-d) δ 136.4, 136.3, 132.6, 128.8, 128.7, 128.1, 127.0, 122.3, 120.6, 119.9, 112.8, 111.0, 75.4, 68.4, 32.2, 27.1 ppm; HRMS (ESI) m/z calcd. for $C_{18}H_{17}NO$ $[M+H]^+$, 264.1383. Found: m/z 264.1385.



(2-Phenyl-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4a)

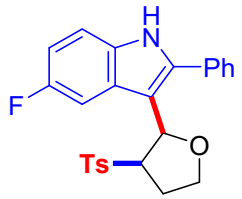
Yellow solid, isolated yield 81% (33.7 mg); m.p. 72.7-73.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.22 (s, 1H), 7.52 – 7.33 (m, 6H), 7.25 – 7.21 (m, 3H), 7.17 – 7.11 (td, *J* = 7.0, 0.8 Hz, 1H), 7.11 – 7.05 (m, 1H), 6.86 (d, *J* = 8.0 Hz, 2H), 5.35 (d, *J* = 7.3 Hz, 1H), 4.29 – 4.14 (m, 2H), 3.93 – 3.87 (m, 1H), 2.73 – 2.66 (m, 1H), 2.64 – 2.48 (m, 1H), 2.25 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 138.0, 136.0, 134.6, 131.8, 129.3, 128.8, 128.7, 128.6, 127.9, 126.7, 122.5, 120.3, 118.9, 111.3, 108.8, 77.3, 75.4, 67.7, 66.7, 28.9, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{23}NO_3S$ $[M+H]^+$, 418.1471. Found: m/z 418.1473.



5-Methyl-2-phenyl-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4b)

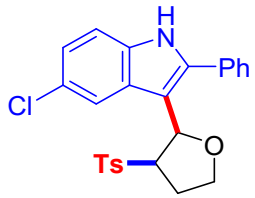
Yellow solid, isolated yield 66% (28.5 mg); m.p. 70.9-72.2 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.04 (s, 1H), 7.50 – 7.43 (m, 5H), 7.31 (d, *J* = 8.4 Hz, 2H), 7.25 (s, 1H), 7.17 (d, *J* = 8.2 Hz, 1H), 7.01 (d, *J* = 7.5 Hz, 1H), 6.91 (d, *J* = 8.1 Hz, 2H), 5.34 (d, *J* = 7.2 Hz, 1H), 4.30 – 4.24 (m, 2H), 3.97 – 3.91 (m, 1H), 2.79 – 2.72 (m, 1H), 2.70 – 2.59 (m, 1H), 2.47 (s, 3H), 2.30 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 138.0, 134.6, 134.3, 131.9, 129.5, 129.2, 128.8, 128.7, 128.4, 128.0, 126.9, 124.0, 118.5, 110.9, 108.4, 75.4, 67.7,

66.5, 29.0, 21.7, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_3S$ $[M+H]^+$, 432.1628. Found: m/z 432.1629.



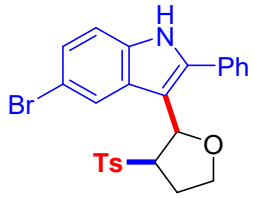
5-Fluoro-2-phenyl-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4c)

Yellow solid, isolated yield 77% (33.5 mg); m.p. 64.5-66.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.44 (s, 1H), 7.51 – 7.36 (m, 5H), 7.29 (d, *J* = 8.0 Hz, 2H), 7.13 – 7.08 (m, 2H), 7.02 – 6.81 (m, 3H), 5.34 (d, *J* = 7.4 Hz, 1H), 4.22 (td, *J* = 8.3, 2.8 Hz, 1H), 4.17 – 4.11 (m, 1H), 3.88 (q, *J* = 8.9 Hz, 1H), 2.68 – 2.65 (m, 1H), 2.60 – 2.44 (m, 1H), 2.29 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.9 (d, *J* = 236.4 Hz), 144.4, 139.8, 134.4, 132.4, 131.4, 129.4, 128.8, 128.7 (2 C), 128.0, 127.0 (d, *J* = 9.9 Hz), 112.1 (d, *J* = 9.6 Hz), 110.6 (d, *J* = 26.2 Hz), 108.8 (d, *J* = 4.8 Hz), 103.9 (d, *J* = 24.0 Hz), 75.1, 67.5, 66.5, 29.0, 21.5 ppm; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -123.18 (s) ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{22}FNO_3S$ $[M+H]^+$, 436.1377. Found: m/z 436.1380.



5-Chloro-2-phenyl-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4d)

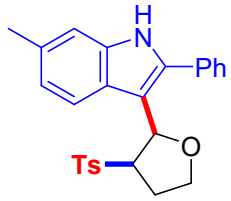
Yellow solid, isolated yield 68% (30.6 mg); m.p. 52.5-54.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.30 (s, 1H), 7.50 – 7.39 (m, 5H), 7.38 (d, *J* = 1.5 Hz, 1H), 7.29 (d, *J* = 8.2 Hz, 2H), 7.19 – 7.04 (m, 2H), 6.94 (d, *J* = 8.0 Hz, 2H), 5.30 (d, *J* = 7.3 Hz, 1H), 4.22 (td, *J* = 8.2, 2.9 Hz, 1H), 4.13 – 4.07 (m, 1H), 3.90 – 3.83 (m, 1H), 2.27 (s, 3H). ^{13}C NMR (101 MHz, 101 MHz, $CDCl_3$+MeOD) δ 144.8, 140.1, 134.8, 134.3, 131.9, 129.6, 129.0, 129.0, 128.9, 128.0, 127.8, 125.5, 122.4, 118.1, 112.7, 107.5, 75.6, 67.7, 66.5, 28.8, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{22}ClNO_3S$ $[M+H]^+$, 452.1082. Found: m/z 452.1084.



5-Bromo-2-phenyl-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4e)

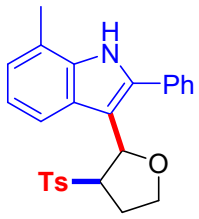
Yellow solid, isolated yield 83% (41.1 mg); m.p. 70.5-72.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.54 (s, 1H), 7.52 (d, *J* = 1.6 Hz, 1H), 7.51 – 7.32 (m, 5H), 7.28 (d, *J* = 8.1 Hz, 2H), 7.21 (dd, *J* = 8.6, 1.5 Hz, 1H), 7.04 (d, *J* = 8.6 Hz, 1H), 6.94 (d, *J* = 8.0 Hz, 2H), 5.30 (d, *J* = 7.5 Hz, 1H), 4.22 (td, *J* = 8.3, 2.7 Hz, 1H), 4.11 – 4.05 (m, 1H), 3.87 – 3.81 (m, 1H), 2.77 – 2.60 (m, 1H), 2.60 – 2.46 (m, 1H), 2.28 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$+ MeOD) δ 148.4, 143.5, 138.7, 138.0, 135.4, 133.3, 132.7, 132.7, 132.6, 132.2, 131.8, 128.8,

124.9, 116.8, 116.8, 111.3, 79.2, 71.5, 70.3, 32.6, 25.3 ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{22}BrNO_3S$ $[M+H]^+$, 496.0577. Found: m/z 496.0580.



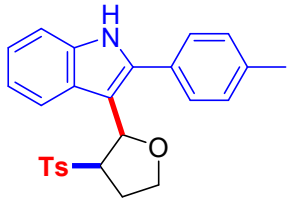
6-Methyl-2-phenyl-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4f)

Yellow solid, isolated yield 81% (34.0 mg); m.p. 63.0-63.8 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (s, 1H), 7.49 – 7.37 (m, 5H), 7.33 (d, *J* = 8.1 Hz, 1H), 7.28 (d, *J* = 8.2 Hz, 2H), 7.04 (s, 1H), 6.92 (dd, *J* = 8.1, 0.8 Hz, 1H), 6.87 (d, *J* = 8.0 Hz, 2H), 5.32 (d, *J* = 7.1 Hz, 1H), 4.25 – 4.18 (m, 2H), 3.95 – 3.88 (m, 1H), 2.74 – 2.67 (m, 1H), 2.63 – 2.53 (m, 1H), 2.42 (s, 3H), 2.27 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$ + MeOD) δ 144.8, 140.1, 134.8, 134.3, 131.8, 129.6, 129.0, 129.0, 128.9, 128.0, 127.8, 125.5, 122.4, 118.1, 112.7, 107.5, 75.7, 67.7, 66.5, 28.8, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_3S$ $[M+H]^+$, 432.1628. Found: m/z 432.1629.



7-Methyl-2-phenyl-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4g),

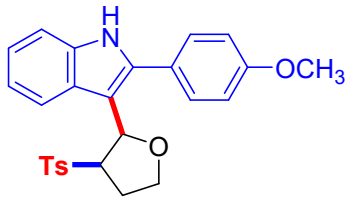
Green solid, isolated yield 55% (23.0 mg); m.p. 203.0-203.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.98 (s, 1H), 7.53 – 7.50 (m, 2H), 7.48 – 7.39 (m, 3H), 7.32 (d, *J* = 7.8 Hz, 1H), 7.28 (d, *J* = 8.3 Hz, 2H), 7.02 (t, *J* = 7.5 Hz, 1H), 6.97 (d, *J* = 7.1 Hz, 1H), 6.89 (d, *J* = 7.9 Hz, 2H), 5.35 (d, *J* = 7.2 Hz, 1H), 4.30 – 4.15 (m, 2H), 3.93 – 3.87 (m, 1H), 2.71 (s, 1H), 2.64 – 2.51 (m, 1H), 2.41 (s, 3H), 2.27 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 137.7, 135.5, 134.6, 131.9, 129.2, 128.8, 128.8, 128.5, 128.0, 126.2, 123.1, 120.5, 120.4, 116.7, 109.6, 75.3, 67.7, 66.7, 29.0, 21.5, 16.5 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_3S$ $[M+H]^+$, 432.1628. Found: m/z 432.1631.



2-(*p*-Tolyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4h)

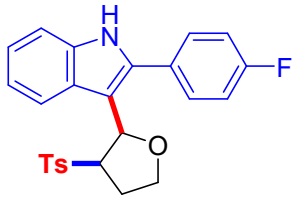
Yellow solid, isolated yield 71% (30.6 mg); m.p. 207.5-209.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.14 (s, 1H), 7.45 (d, *J* = 7.7 Hz, 1H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.26 – 7.20 (m, 5H), 7.16 – 7.10 (m, 1H), 7.10 – 7.03 (m, 1H), 6.85 (d, *J* = 8.1 Hz, 2H), 5.34 (d, *J* = 7.4 Hz, 1H), 4.25 – 4.18 (m, 2H), 3.95 – 3.88 (m, 1H), 2.63 – 2.66 (m, 1H), 2.61 – 2.51 (m, 1H), 2.42 (s, 3H), 2.24 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 138.5, 138.1, 135.8, 134.6, 129.5, 129.2, 128.9, 128.6, 127.9, 126.8, 122.2, 120.1, 118.8, 111.1, 108.4, 75.4, 67.6,

66.4, 28.8, 21.5, 21.3 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_3S$ $[M+H]^+$, 432.1628. Found: m/z 432.1630.



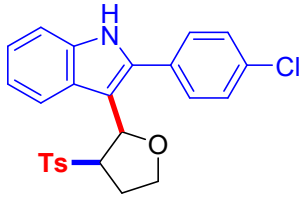
2-(4-Methoxyphenyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4i)

Yellow solid, isolated yield 65% (29.1 mg); m.p. 75.6-77.8 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.99 (s, 1H), 7.45 – 7.41 (m, 3H), 7.30 (d, *J* = 8.2 Hz, 2H), 7.24 (d, *J* = 5.6 Hz, 1H), 7.16 – 7.12 (m, 1H), 7.10 – 7.03 (m, 1H), 7.01 – 6.95 (m, 2H), 6.90 (d, *J* = 8.2 Hz, 2H), 5.33 (d, *J* = 7.3 Hz, 1H), 4.25 – 4.19 (m, 2H), 3.98 – 3.90 (m, 1H), 3.88 (s, 3H), 2.77 – 2.64 (m, 1H), 2.64 – 2.47 (m, 1H), 2.26 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 159.9, 144.0, 137.9, 135.7, 134.7, 130.0, 129.2, 128.0, 126.8, 124.2, 122.2, 120.2, 118.7, 114.3, 111.0, 108.3, 75.3, 67.6, 66.4, 55.4, 28.9, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_4S$ $[M+H]^+$, 448.1577. Found: m/z 448.1580.



2-(4-Fluorophenyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4j)

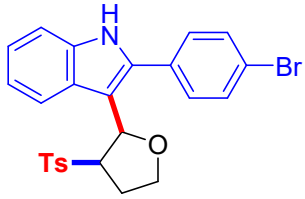
Yellow solid, isolated yield 70% (30.4 mg); m.p. 76.5-78.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.37 (s, 1H), 7.49 (d, *J* = 7.7 Hz, 1H), 7.45 – 7.42 (m, 2H), 7.34 (d, *J* = 8.2 Hz, 2H), 7.24 (d, *J* = 7.9 Hz, 1H), 7.18 (t, *J* = 7.1 Hz, 1H), 7.13 (t, *J* = 7.4 Hz, 1H), 7.07 (t, *J* = 8.6 Hz, 2H), 6.98 (d, *J* = 8.1 Hz, 2H), 5.36 (d, *J* = 7.2 Hz, 1H), 4.28 – 4.22 (m, 2H), 3.91 (q, *J* = 9.0 Hz, 1H), 2.75 – 2.63 (m, 1H), 2.63 – 2.49 (m, 1H), 2.32 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.8 (d, *J* = 249.8 Hz), 144.4, 137.0, 136.0, 134.6, 130.6 (d, *J* = 8.3 Hz), 129.4, 128.1, 127.9 (d, *J* = 2.9 Hz), 126.4, 122.6, 120.4, 118.9, 115.8 (d, *J* = 21.8 Hz), 111.4, 109.0, 75.1, 67.7, 66.8, 29.2, 21.5 ppm; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -112.52 (s) ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{22}FNO_3S$ $[M+H]^+$, 436.1377. Found: m/z 436.1382.



2-(4-Chlorophenyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4k)

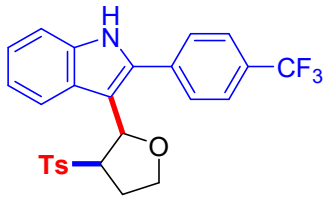
Yellow solid, isolated yield 70% (31.5 mg); m.p. 77.5-80.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.21 (s, 1H), 7.48 (d, *J* = 7.8 Hz, 1H), 7.43 – 7.33 (m, 4H), 7.31 (d, *J* = 8.2 Hz, 2H), 7.24 (d, *J* = 12.0 Hz, 1H), 7.18 (t, *J* = 7.2 Hz, 1H), 7.11 (t, *J* = 7.4 Hz, 1H), 6.95 (d, *J* = 8.0 Hz, 2H), 5.33 (d, *J* = 7.2 Hz, 1H), 4.36 – 4.13 (m, 2H), 3.90 (q, *J* = 9.0 Hz, 1H), 2.71 – 2.63 (m, 1H), 2.62 – 2.51 (m, 1H), 2.30 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.5, 136.7, 136.1, 134.5, 134.5, 130.1, 130.0, 129.4, 128.9, 128.0, 126.4, 122.7, 120.4,

118.9, 111.5, 109.3, 75.1, 67.7, 66.8, 29.2, 21.6 ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{22}ClNO_3S$ $[M+H]^+$, 452.1082. Found: m/z 452.1085.



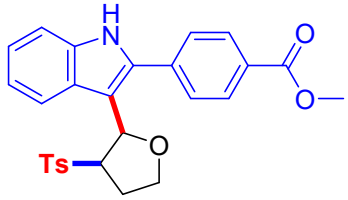
2-(4-Bromophenyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4l)

Red solid, isolated yield 71% (35.0 mg); m.p. 67.7-69.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.33 (s, 1H), 7.50 (d, *J* = 8.4 Hz, 3H), 7.32 (dd, *J* = 8.2, 4.6 Hz, 4H), 7.25 (d, *J* = 7.89 Hz, 1H), 7.21 (t, *J* = 7.3 Hz, 1H), 7.14 (t, *J* = 7.3 Hz, 1H), 6.98 (d, *J* = 8.1 Hz, 2H), 5.35 (d, *J* = 7.2 Hz, 1H), 4.28 – 4.22 (m, 2H), 3.92 (q, *J* = 7.0 Hz, 1H), 2.74 – 2.65 (m, 1H), 2.65 – 2.55 (m, 1H), 2.33 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.4, 136.7, 136.1, 134.6, 132.0, 130.6, 130.3, 129.5, 128.1, 126.5, 122.8, 122.8, 120.5, 119.0, 111.5, 109.4, 75.1, 67.8, 66.9, 29.3, 21.6 ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{22}BrNO_3S$ $[M+H]^+$, 496.0577. Found: m/z 496.0580.



3-(3-Tosyltetrahydrofuran-2-yl)-2-(4-(trifluoromethyl)phenyl)-1*H*-indole (4m)

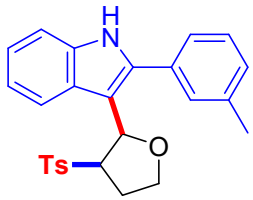
Red solid, isolated yield 58% (28.0 mg); m.p. 85.0-86.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.51 (s, 1H), 7.54 (q, *J* = 8.5 Hz, 5H), 7.32 (d, *J* = 8.2 Hz, 2H), 7.26 (d, *J* = 7.8 Hz, 1H), 7.24 – 7.19 (m, 1H), 7.19 – 7.14 (m, 1H), 6.99 (d, *J* = 8.1 Hz, 2H), 5.38 (d, *J* = 7.2 Hz, 1H), 4.31 – 4.24 (m, 2H), 3.99 – 3.83 (m, 1H), 2.69 – 2.60 (m, 2H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.6, 136.4, 136.3, 135.2, 134.5, 130.2 (q, *J* = 32.7 Hz), 129.5, 129.0, 128.1, 126.3, 125.7 (q, *J* = 3.7 Hz), 124.0 (q, *J* = 272.6 Hz), 123.2, 120.7, 119.2, 111.7, 110.3, 74.9, 67.8, 67.1, 29.5, 21.6 ppm; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -62.47 (s) ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{22}F_3NO_3S$ $[M+H]^+$, 486.1345. Found: m/z 486.1347.



methyl 4-(3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indol-2-yl)benzoate (4n)

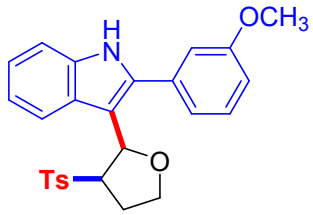
Yellow solid, isolated yield 83% (39.4. mg); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.27 (s, 1H), 8.08 (d, *J* = 8.0 Hz, 2H), 7.55 (d, *J* = 8.0 Hz, 2H), 7.50 (d, *J* = 7.9 Hz, 1H), 7.29 (m, 3H), 7.22 – 7.17 (m, 1H), 7.12 (m, 1H), 6.90 (d, *J* = 8.0 Hz, 2H), 5.37 (d, *J* = 7.2 Hz, 1H), 4.29 – 4.18 (m, 2H), 3.97 (s, 3H), 3.96 – 3.90 (m, 1H), 2.71 (m, 1H), 2.59 (m, 1H), 2.29 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.7, 144.3, 136.6, 136.3, 136.2, 134.7, 130.0, 129.8, 129.4, 128.6, 127.9, 126.6, 123.1, 120.6, 119.2, 111.4, 110.3, 75.1, 67.8, 66.8, 52.3, 29.1,

21.5 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{22}F_3NO_3S$ $[M+Na]^+$, 498.1346. Found: m/z 498.1344.



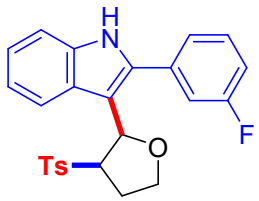
2-(*m*-Tolyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4o)

Yellow solid, isolated yield 65% (28.0 mg); m.p. 78.0-80.7 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.21 (s, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.39 – 7.29 (m, 7H), 7.17 (t, *J* = 7.1 Hz, 1H), 7.11 (t, *J* = 7.2 Hz, 1H), 6.91 (d, *J* = 8.1 Hz, 2H), 5.41 (d, *J* = 7.3 Hz, 1H), 4.34 – 4.17 (m, 2H), 4.01 – 3.89 (m, 1H), 2.77 – 2.70 (m, 1H), 2.65 – 2.55 (m, 1H), 2.45 (s, 3H), 2.29 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 138.5, 138.1, 135.8, 134.6, 131.7, 129.3, 129.3, 129.2, 128.7, 128.0, 126.8, 125.9, 122.3, 120.2, 118.8, 111.2, 108.7, 75.3, 67.6, 66.6, 28.9, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_3S$ $[M+H]^+$, 432.1628. Found: m/z 432.1631.



2-(2-Methoxyphenyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4p)

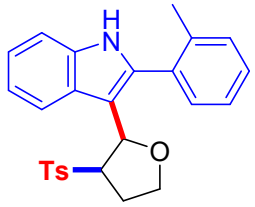
Yellow solid, isolated yield 74% (33.1 mg); m.p. 72.7-73.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.29 (s, 1H), 7.49 (d, *J* = 7.8 Hz, 1H), 7.38 (d, *J* = 8.0 Hz, 1H), 7.37 – 7.32 (m, 2H), 7.28 (d, *J* = 3.9 Hz, 1H), 7.21 – 7.14 (m, 2H), 7.14 – 7.05 (m, 2H), 6.98 (dd, *J* = 8.2, 2.3 Hz, 1H), 6.94 (d, *J* = 8.1 Hz, 2H), 5.46 (d, *J* = 7.4 Hz, 1H), 4.29 – 4.23 (m, 2H), 4.03 – 3.91 (m, 1H), 3.91 (s, 3H), 2.75 – 2.68 (m, 1H), 2.65 – 2.54 (m, 1H), 2.29 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 159.8, 144.2, 137.9, 135.9, 134.7, 133.1, 129.9, 129.3, 128.0, 126.7, 122.5, 121.0, 120.3, 118.9, 114.3, 114.3, 111.3, 108.9, 75.1, 67.7, 66.6, 55.5, 29.1, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_4S$ $[M+H]^+$, 448.1577. Found: m/z 448.1578.



2-(3-Fluorophenyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4q)

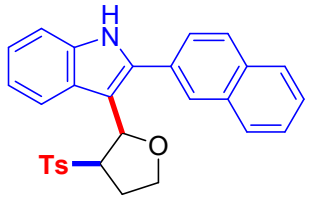
Yellow solid, isolated yield 74% (32.2 mg); m.p. 76.0-78.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.34 (s, 1H), 7.50 (d, *J* = 7.8 Hz, 1H), 7.42 – 7.35 (m, 1H), 7.32 (s, 1H), 7.28 (d, *J* = 5.2 Hz, 1H), 7.24 (d, *J* = 7.9 Hz, 1H), 7.20 – 7.09 (m, 5H), 6.93 (d, *J* = 8.1 Hz, 2H), 5.36 (d, *J* = 7.2 Hz, 1H), 4.30 – 4.23 (m, 2H), 3.98 – 3.92 (m, 1H), 2.78 – 2.71 (m, 1H), 2.68 – 257 (m, 1H), 2.30 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.8 (d, *J* = 248.0

Hz), 144.4, 136.5 (d, J = 2.1 Hz), 136.1, 134.5, 133.8 (d, J = 8.1 Hz), 130.5 (d, J = 8.6 Hz), 129.4, 127.9, 126.5, 124.5 (d, J = 2.6 Hz), 122.9, 120.5, 119.0, 115.6 (d, J = 18.9 Hz), 115.3 (d, J = 17.5 Hz), 111.4, 109.5, 75.1, 67.8, 66.8, 29.0, 21.5 ppm; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -111.71 (s) ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{22}FNO_3S$ $[M+H]^+$, 436.1377. Found: m/z 436.1380.



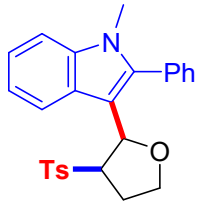
2-(*o*-Tolyl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4r)

Red solid, isolated yield 65% (28.0 mg); m.p. 186.2-188.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.23 (s, 1H), 7.54 (d, J = 7.8 Hz, 1H), 7.42 – 7.38 (m, 4H), 7.34 – 7.29 (m, 3H), 7.21 (t, J = 8.0 Hz, 1H), 7.17 (d, J = 8.0 Hz, 1H), 7.12 (d, J = 7.7 Hz, 2H), 5.26 (d, J = 6.5 Hz, 1H), 4.18 – 4.13 (m, 2H), 4.10 – 4.05 (m, 1H), 3.68 (q, J = 8.0 Hz, 1H), 2.52 – 2.39 (m, 2H), 2.39 (s, 3H), 2.23 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.5, 138.0, 137.2, 135.9, 134.6, 131.4, 131.3, 130.4, 129.7, 129.0, 128.7, 125.9, 125.7, 122.2, 120.1, 118.8, 111.2, 110.7, 74.9, 67.7, 67.6, 29.7, 21.6, 20.2 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_3S$ $[M+H]^+$, 432.1628. Found: m/z 432.1631.



2-(Naphthalen-2-yl)-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4s)

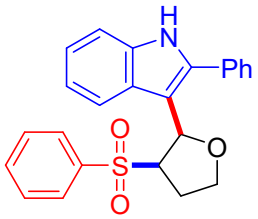
Yellow solid, isolated yield 70% (32.7 mg); m.p. 87-89 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.39 (s, 1H), 7.95 (s, 1H), 7.92 – 7.87 (m, 3H), 7.61 – 7.57 (m, 3H), 7.53 (d, J = 7.8 Hz, 1H), 7.28 (d, J = 8.3 Hz, 1H), 7.22 – 7.18 (m, 3H), 7.14 (t, J = 7.3 Hz, 1H), 6.71 (d, J = 8.1 Hz, 2H), 5.48 (d, J = 7.2 Hz, 1H), 4.32 – 4.24 (m, 2H), 3.96 (q, J = 9.0 Hz, 1H), 2.82 – 2.69 (m, 1H), 2.65 – 2.57 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 137.9, 136.2, 134.4, 133.3, 133.0, 129.2, 129.1, 128.5, 128.3, 127.9, 127.8, 126.8, 126.7, 126.3, 122.6, 120.3, 118.9, 111.4, 109.3, 75.4, 67.8, 66.9, 29.1, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{29}H_{25}NO_3S$ $[M+H]^+$, 468.1628. Found: m/z 486.1630.



1-Methyl-2-phenyl-3-(3-tosyltetrahydrofuran-2-yl)-1*H*-indole (4t)

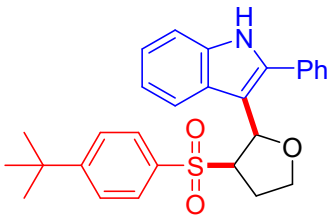
Yellow solid, isolated yield 36% (15.9 mg); m.p. 70-71 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56 – 7.47 (m, 4H), 7.44 (d, J = 6.1 Hz, 2H), 7.36 (d, J = 8.3 Hz, 2H), 7.31 (d, J = 8.2 Hz, 1H), 7.25 (td, J = 8.2, 1.1 Hz, 1H), 7.16 – 7.10 (m, 1H), 7.05 (d, J = 8.0 Hz, 2H), 5.21 (d, J = 7.1 Hz, 1H), 4.22 – 4.05 (m, 2H), 3.76 – 3.70 (m, 1H), 3.53 (s, 3H), 2.59 – 2.38 (m, 2H),

2.33 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 140.6, 137.5, 134.9, 131.1, 130.7, 129.5, 128.7, 128.5, 128.4, 125.6, 122.0, 120.1, 118.7, 109.8, 109.8, 75.2, 67.4, 67.2, 30.8, 29.4, 21.5 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_3S$ $[M+H]^+$, 432.1628. Found: m/z 432.1626.



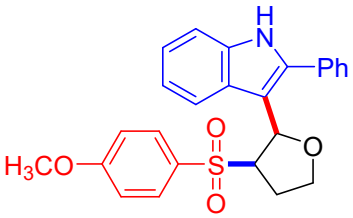
2-Phenyl-3-(3-(phenylsulfonyl)tetrahydrofuran-2-yl)-1*H*-indole (4u)

Yellow solid, isolated yield 65% (29.0 mg); m.p. 55.0-57.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.26 (s, 1H), 7.48 (d, *J* = 7.7 Hz, 1H), 7.43 – 7.39 (m, 5H), 7.36 (d, *J* = 7.9 Hz, 2H), 7.31 (t, *J* = 7.1 Hz, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 7.14 (t, 1H), 7.12 – 7.05 (m, 3H), 5.38 (d, *J* = 7.4 Hz, 1H), 4.28 – 4.20 (m, 2H), 3.92 (q, *J* = 7.9 Hz, 1H), 2.75 – 2.68 (m, 1H), 2.63 – 2.53 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 138.1, 137.6, 136.0, 133.2, 131.6, 128.9, 128.8, 128.7, 128.6, 127.9, 126.6, 122.5, 120.3, 118.8, 111.4, 108.6, 75.4, 67.6, 66.5, 28.9 ppm; HRMS (ESI) m/z calcd. for $C_{24}H_{21}NO_3S$ $[M+H]^+$, 404.1315. Found: m/z 404.1314.



3-(3-((4-(*tert*-Butyl)phenyl)sulfonyl)tetrahydrofuran-2-yl)-2-phenyl-1*H*-indole (4v)

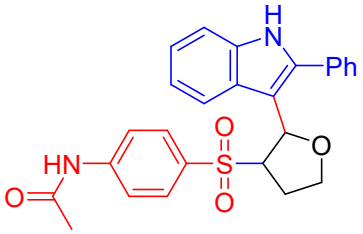
Yellow solid, isolated yield 61% (27.6 mg); m.p. 69.0-70.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.11 (s, 1H), 7.50 – 7.41 (m, 6H), 7.31 (d, *J* = 8.5 Hz, 2H), 7.21 (d, *J* = 7.8 Hz, 1H), 7.14 (td, *J* = 7.3, 0.9 Hz, 1H), 7.12 – 7.06 (m, 3H), 5.40 (d, *J* = 7.6 Hz, 1H), 4.28 – 4.15 (m, 2H), 4.00 – 3.85 (m, 1H), 2.77 – 2.63 (m, 1H), 2.61 – 2.50 (m, 1H), 1.22 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.0, 138.1, 135.9, 134.7, 131.8, 128.9, 128.8, 128.6, 127.9, 126.9, 125.6, 122.4, 120.3, 118.8, 111.3, 108.7, 75.3, 67.5, 66.2, 35.0, 31.0, 28.8 ppm; HRMS (ESI) m/z calcd. for $C_{28}H_{29}NO_3S$ $[M+H]^+$, 460.1941. Found: m/z 460.1942.



3-(3-((4-Methoxyphenyl)sulfonyl)tetrahydrofuran-2-yl)-2-phenyl-1*H*-indole (4w)

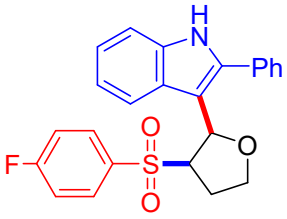
Yellow solid, isolated yield 53% (23.0 mg); m.p. 76.0-78.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.18 (s, 1H), 7.56 – 7.43 (m, 6H), 7.33 – 7.28 (m, 3H), 7.20 (t, *J* = 7.1 Hz, 1H), 7.13 (t, *J* = 7.1 Hz, 1H), 6.54 (d, *J* = 8.9 Hz, 2H), 5.35 (d, *J* = 7.4 Hz, 1H), 4.36 – 4.15 (m, 2H), 4.04 – 3.92 (m, 1H), 3.76 (s, 3H), 2.79 – 2.72 (m, 1H), 2.68 – 2.57 (m, 1H). ^{13}C

NMR (101 MHz, Chloroform-*d*) δ 163.2, 138.0, 135.9, 131.8, 130.1, 129.1, 128.9, 128.8, 128.6, 126.8, 122.5, 120.3, 119.0, 113.8, 111.2, 108.9, 75.4, 67.7, 66.7, 55.5, 28.9 ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{23}NO_4S$ $[M+H]^+$, 434.1421. Found: m/z 434.1425.



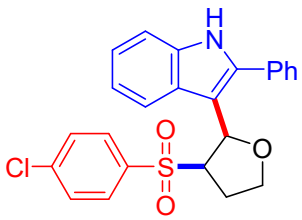
***N*-(4-((2-(2-phenyl-1*H*-indol-3-yl)tetrahydrofuran-3-yl)sulfonyl)phenyl)acetamide (4x)**

Yellow solid, isolated yield 48% (20.0 mg); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.31 (s, 1H), 7.68 (s, 1H), 7.46 (m, 3H), 7.42 – 7.35 (m, 3H), 7.20 – 7.15 (m, 3H), 7.15 – 7.09 (m, 3H), 7.06 (m, 1H), 5.32 (d, *J* = 7.6 Hz, 1H), 4.29 – 4.18 (m, 2H), 3.91 (m, 1H), 2.72 – 2.63 (m, 1H), 2.62 – 2.53 (m, 1H), 2.07 (s, 3H).^{13}C NMR (101 MHz, Chloroform-*d*) δ 168.9, 142.5, 138.2, 135.9, 131.8, 131.6, 129.0, 128.9, 128.7, 128.7, 126.6, 122.5, 120.3, 118.9, 118.8, 111.4, 108.3, 75.5, 67.5, 66.4, 28.7, 24.5 ppm; HRMS (ESI) m/z calcd. for $C_{25}H_{23}NO_4S$ $[M+Na]^+$, 483.1349. Found: m/z 483.1348.



3-(3-((4-Fluorophenyl)sulfonyl)tetrahydrofuran-2-yl)-2-phenyl-1*H*-indole (4y)

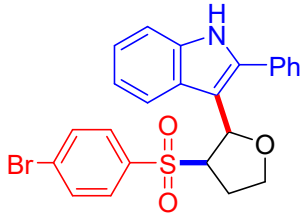
Yellow solid, isolated yield 66% (28.4 mg); m.p. 51.5-53.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (s, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.42 (s, 5H), 7.33 – 7.30 (m, 2H), 7.26 – 7.24 (m, 1H), 7.16 (td, *J* = 7.5, 0.9 Hz, 1H), 7.13 – 7.06 (m, 1H), 6.67 (d, *J* = 17.1 Hz, 2H), 5.27 (d, *J* = 7.4 Hz, 1H), 4.30 – 4.22 (m, 2H), 4.00 – 3.94 (m, 1H), 2.80 – 2.73 (m, 1H), 2.68 – 2.60 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 165.3 (d, *J* = 256.8 Hz), 138.0, 136.0, 133.6 (d, *J* = 3.0 Hz), 131.5, 130.7 (d, *J* = 9.7 Hz), 129.0, 128.7 (d, *J* = 8.9 Hz), 126.6, 122.7, 120.4, 118.8, 115.9, 115.7 (d, *J* = 22.6 Hz), 111.4, 108.4, 75.6, 67.7, 66.5, 28.6 ppm; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -103.82 (s) ppm; HRMS (ESI) m/z calcd. for $C_{24}H_{20}FNO_3S$ $[M+H]^+$, 422.1221. Found: m/z 422.1224.



3-(3-((4-Chlorophenyl)sulfonyl)tetrahydrofuran-2-yl)-2-phenyl-1*H*-indole (4z)

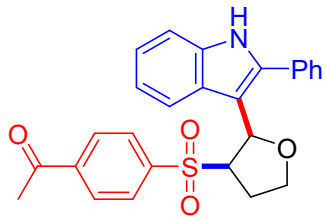
Yellow solid, isolated yield 66% (27.1 mg); m.p. 55.0-57.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.23 (s, 1H), 7.47 – 7.39 (m, 6H), 7.23 – 7.15 (m, 4H), 7.12 – 7.08 (m, 1H),

6.94 (d, *J* = 8.5 Hz, 2H), 5.24 (d, *J* = 7.4 Hz, 1H), 4.30 – 4.22 (m, 2H), 4.00 – 3.94 (m, 1H), 2.81 – 2.74 (m, 1H), 2.71 – 2.54 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 139.8, 138.0, 136.0, 135.9, 131.4, 129.1, 129.0, 128.8, 128.7, 128.5, 126.6, 122.7, 120.5, 118.8, 111.5, 108.2, 75.7, 67.8, 66.4, 28.5 ppm; HRMS (ESI) m/z calcd. for $C_{24}H_{20}ClNO_3S$ $[M+H]^+$, 438.0925. Found: m/z 438.0927.



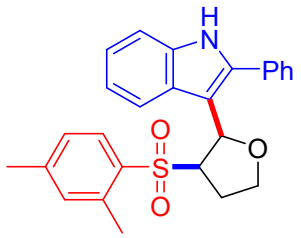
3-(3-((4-Bromophenyl)sulfonyl)tetrahydrofuran-2-yl)-2-phenyl-1*H*-indole (4aa)

Yellow solid, isolated yield 61% (33.0 mg); m.p. 87.5-89.5 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.24 (s, 1H), 7.51 – 7.42 (m, 6H), 7.28 (d, *J* = 6.1 Hz, 1H), 7.21 (d, *J* = 7.0 Hz, 1H), 7.19 – 7.12 (m, 5H), 5.27 (d, *J* = 7.5 Hz, 1H), 4.40 – 4.23 (m, 2H), 4.09 – 3.94 (m, 1H), 2.85 – 2.78 (m, 1H), 2.72 – 2.62 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 138.0, 136.4, 135.9, 131.8, 131.4, 129.2, 129.0, 128.7, 128.5 (2 C), 126.6, 122.7, 120.5, 118.8, 111.5, 108.2, 75.6, 67.8, 66.3, 28.4 ppm; HRMS (ESI) m/z calcd. for $C_{24}H_{20}BrNO_3S$ $[M+H]^+$, 482.0420. Found: m/z 482.0421.



1-(4-((2-(2-Phenyl-1*H*-indol-3-yl)tetrahydrofuran-3- yl)sulfonyl)phenyl)ethan-1-one (4ab)

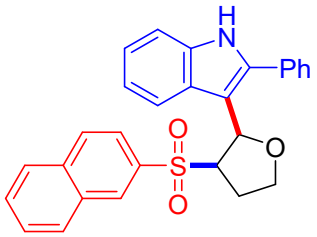
Yellow solid, isolated yield 50% (22.3 mg); m.p. 57.4-59.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.33 (s, 1H), 7.50 (d, *J* = 7.8 Hz, 2H), 7.35 – 7.30 (m, 6H), 7.23 – 7.17 (m, 2H), 7.0 (d, *J* = 8.1 Hz, 2H), 5.36 (d, *J* = 7.2 Hz, 1H), 4.28 – 4.23 (m, 2H), 4.02 (q, *J* = 7.1 Hz, 1H), 2.71 – 2.66 (m, 1H), 2.63 – 2.55 (m, 1H), 2.51 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 196.9, 141.2, 139.8, 138.0, 135.8, 131.5, 129.0, 128.8, 128.5, 128.0, 126.8, 122.6, 120.3, 118.9, 111.3, 107.9, 75.5, 67.6, 66.0, 28.0, 26.8 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{23}NO_4S$ $[M+H]^+$, 446.1421. Found: m/z 446.1422.



3-(3-((2,4-Dimethylphenyl)sulfonyl)tetrahydrofuran-2-yl)-2-phenyl-1*H*-indole (4ac)

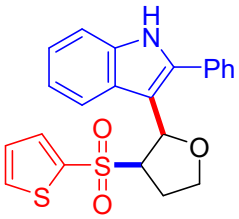
Yellow solid, isolated yield 65% (28.0 mg); m.p. 70.9-72,2 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.01 (s, 1H), 7.50 (d, *J* = 7.9 Hz, 2H), 7.46 – 7.42 (m, 5H), 7.30 (d, *J* = 8.0 Hz, 1H), 7.20 (t, *J* = 7.2 Hz, 1H), 7.12 (t, *J* = 7.2 Hz, 1H), 6.69 (s, 1H), 6.60 (d, *J* = 8.0 Hz, 1H), 5.29 (d, *J* = 7.3 Hz, 1H), 4.43 – 4.38 (m, 1H), 4.38 – 4.30 (m, 1H), 4.11 – 4.05 (m, 1H),

2.89 – 2.82 (m, 1H), 2.69 – 2.58 (m, 1H), 2.23 (s, 3H), 2.08 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 143.8, 137.8, 137.5, 135.8, 132.9, 132.6, 131.5, 130.0, 128.7, 128.5, 128.5, 126.9, 126.7, 122.5, 120.4, 118.8, 111.1, 108.6, 75.5, 68.1, 65.3, 28.6, 21.3, 19.7 ppm; HRMS (ESI) m/z calcd. for $C_{26}H_{25}NO_3S$ $[M+H]^+$, 432.1628. Found: m/z 432.1626.



3-(3-(Naphthalen-2-ylsulfonyl)tetrahydrofuran-2-yl)-2-phenyl-1*H*-indole (4ad)

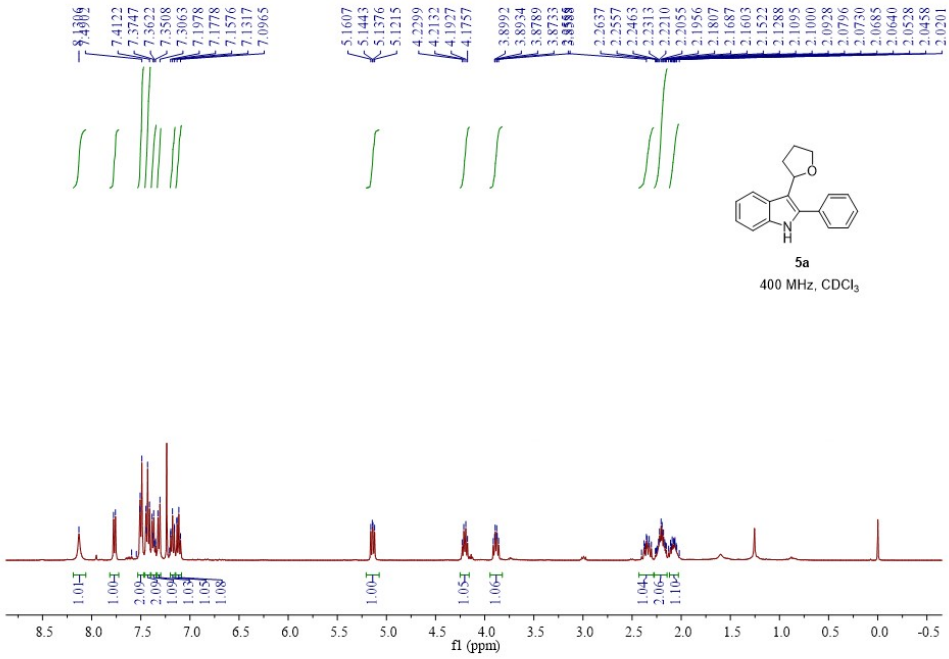
Yellow solid, isolated yield 53% (24.1 mg); m.p. 76.5-78.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.01 (s, 1H), 7.99 (s, 1H), 7.77 (d, *J* = 8.2 Hz, 1H), 7.64 – 7.62 (m, 2H), 7.57 – 7.51 (m, 4H), 7.35 – 7.30 (m, 3H), 7.25 – 7.18 (m, 2H), 7.17 – 7.07 (m, 3H), 5.40 (d, *J* = 7.5 Hz, 1H), 4.46 – 4.41 (m, 1H), 4.30 (td, *J* = 8.2, 3.0 Hz, 1H), 4.09 – 3.92 (m, 1H), 2.88 – 2.81 (m, 1H), 2.72 – 2.62 (m, 1H); ^{13}C NMR (101 MHz, Chloroform-*d*) δ 138.0, 135.8, 135.0, 134.5, 131.7, 131.3, 129.8, 129.6, 129.1, 128.8, 128.8, 128.5, 128.4, 127.6, 127.2, 126.7, 122.5, 122.3, 120.3, 118.8, 111.3, 108.4, 75.5, 67.7, 66.2, 28.7 ppm; HRMS (ESI) m/z calcd. for $C_{28}H_{23}NO_3S$ $[M+H]^+$, 454.1471. Found: m/z 454.1473.



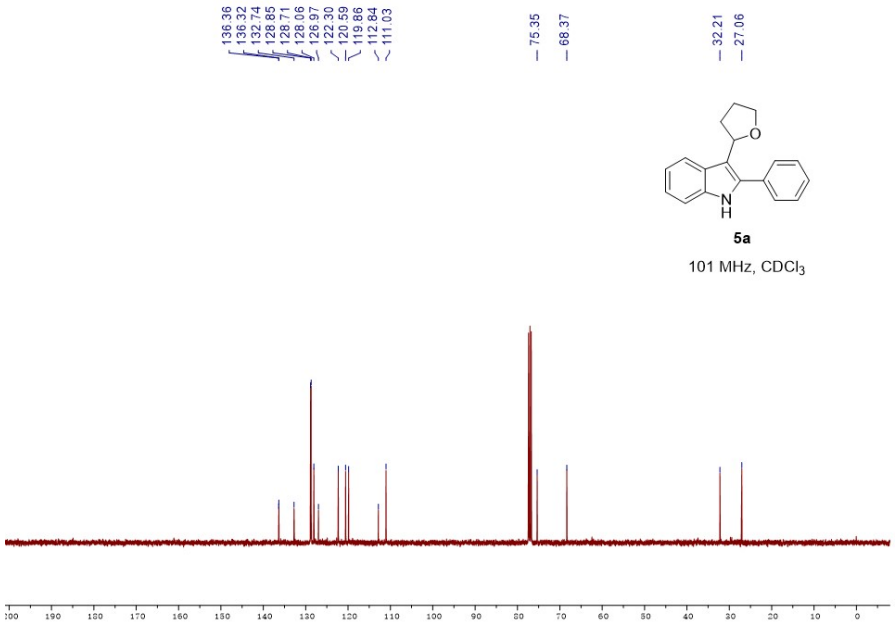
2-Phenyl-3-(3-(thiophen-2-ylsulfonyl)tetrahydrofuran-2-yl)-1*H*-indole (4ae)

Brown solid, isolated yield 71% (29.0 mg); m.p. 75.5-77.0 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.27 (s, 1H), 7.58 – 7.52 (m, 3H), 7.51 – 7.41 (m, 4H), 7.31 (d, *J* = 7.9 Hz, 1H), 7.21 (t, *J* = 7.2 Hz, 1H), 7.18 – 7.12 (m, 2H), 6.80 – 6.75 (m, 1H), 5.49 (d, *J* = 7.0 Hz, 1H), 4.38 – 4.33 (m, 1H), 4.30 – 4.26 (m, 1H), 3.94 – 3.87 (m, 1H), 2.81 – 2.74 (m, 1H), 2.72 – 2.64 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 138.3, 138.2, 136.0, 134.5, 134.2, 131.8, 129.0, 128.9, 128.6, 127.5, 126.5, 122.6, 120.4, 118.9, 111.4, 108.9, 75.6, 68.3, 67.8, 29.5 ppm; HRMS (ESI) m/z calcd. for $C_{22}H_{19}NO_3S_2$ $[M+H]^+$, 410.0879. Found: m/z 410.0877.

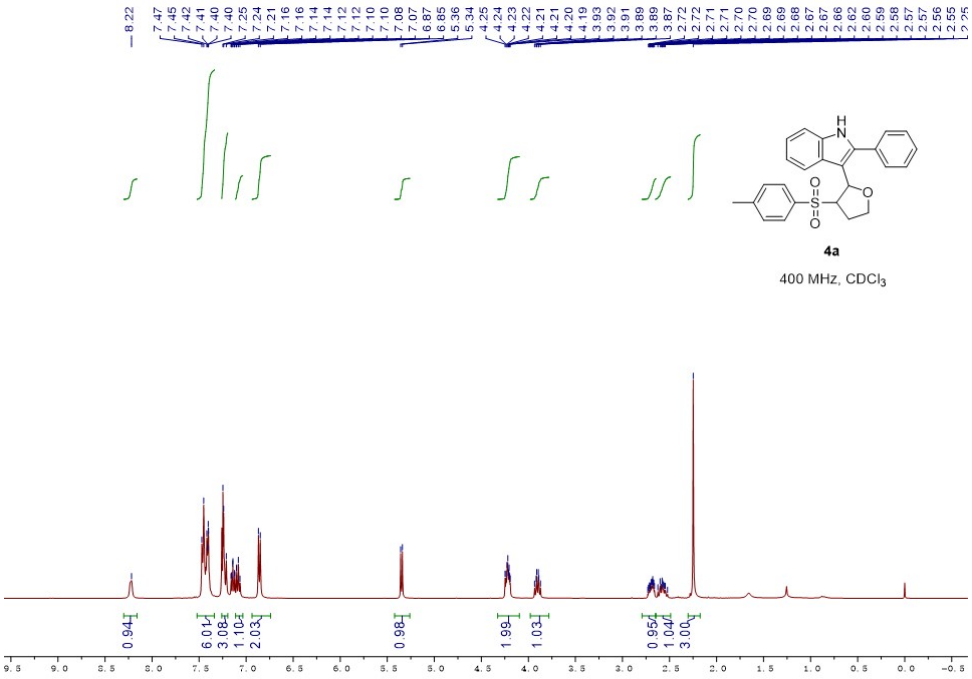
7. Copies of NMR spectra.



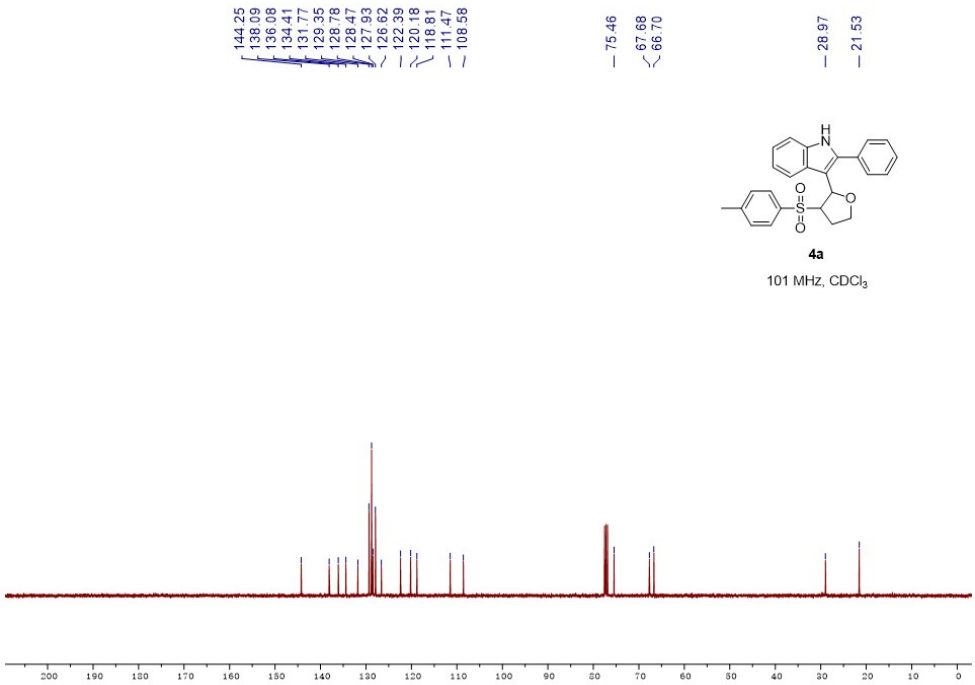
^{1}H NMR spectrum of compound 5a



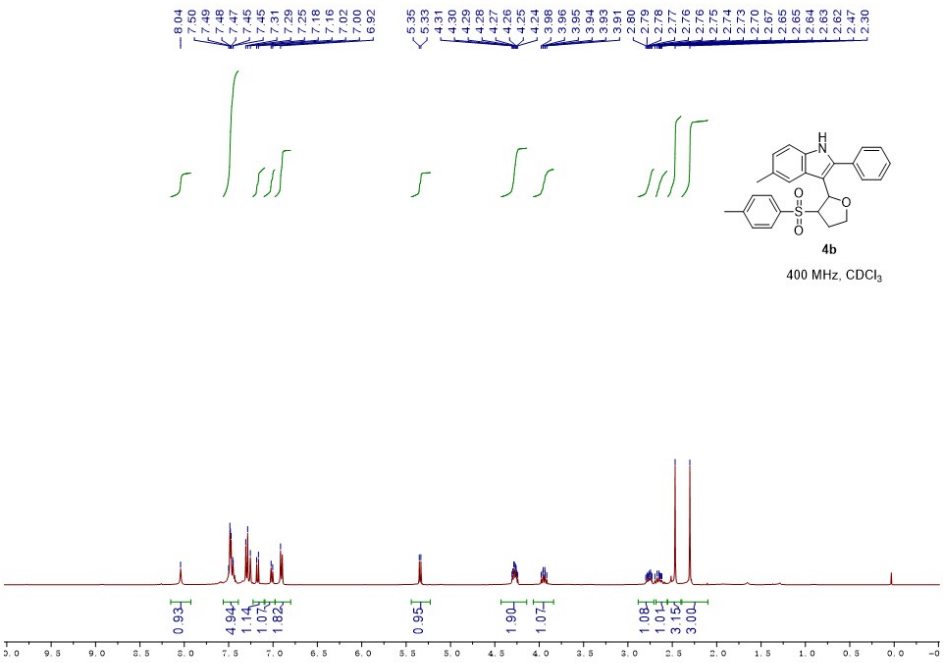
^{13}C NMR spectrum of compound 5a



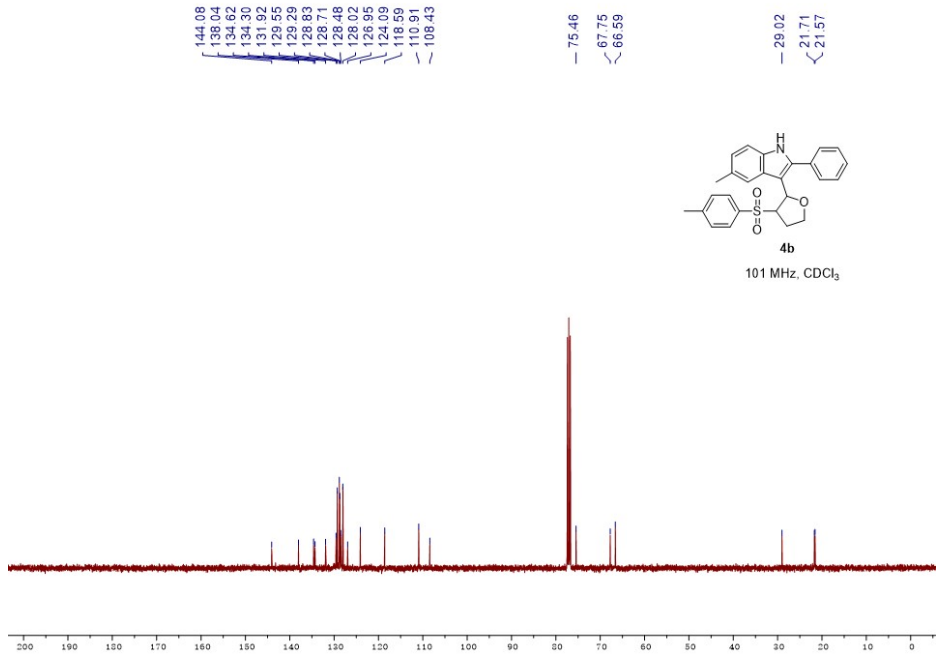
^{1}H NMR spectrum of compound 4a



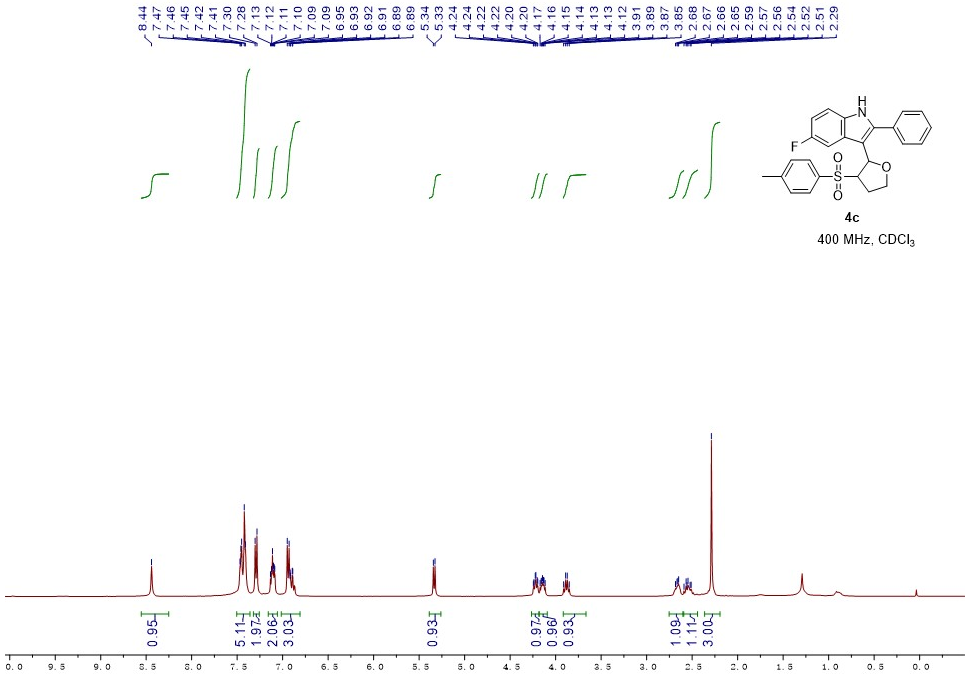
^{13}C NMR spectrum of compound 4a



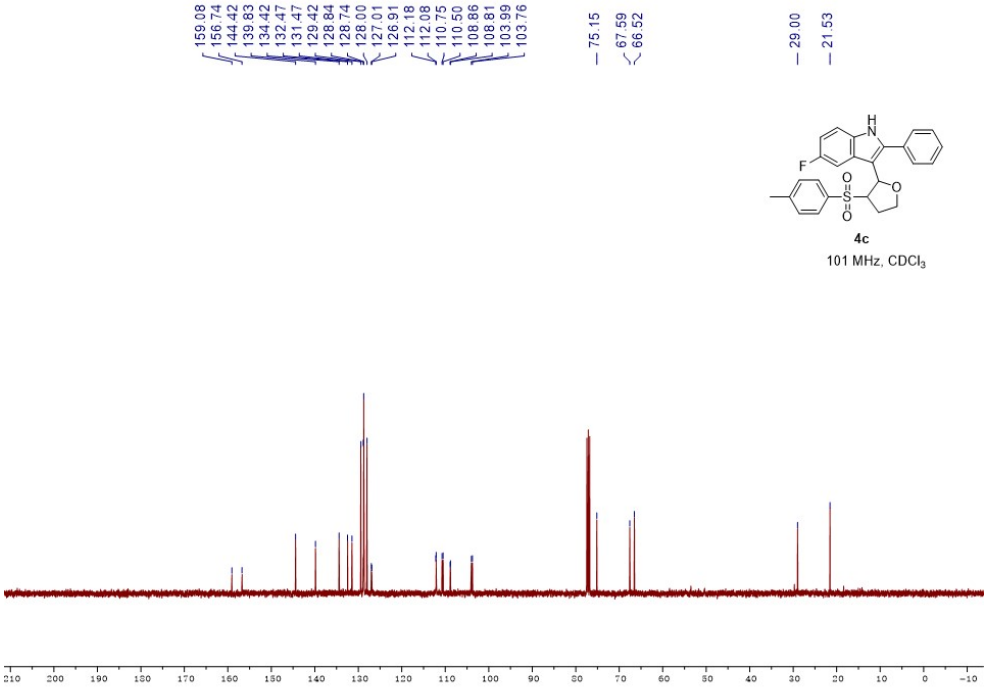
^{1}H NMR spectrum of compound 4b



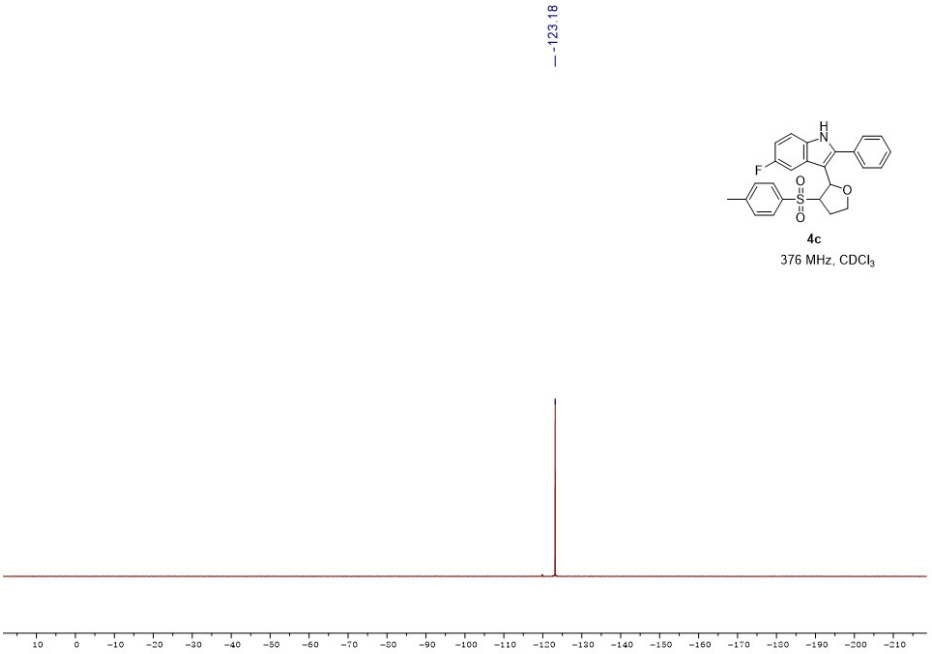
^{13}C NMR spectrum of compound 4b



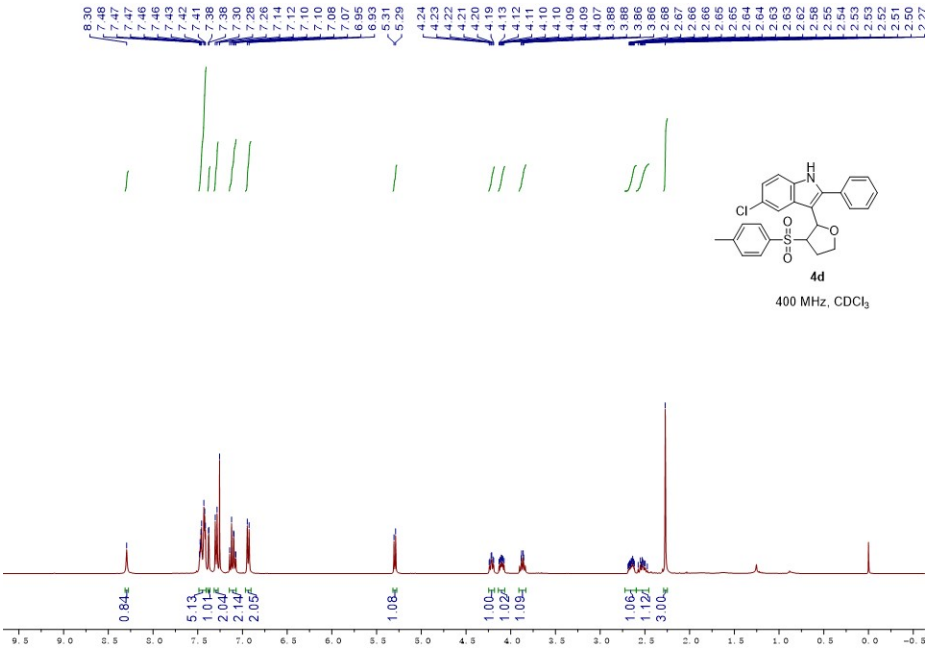
^{1}H NMR spectrum of compound 4c



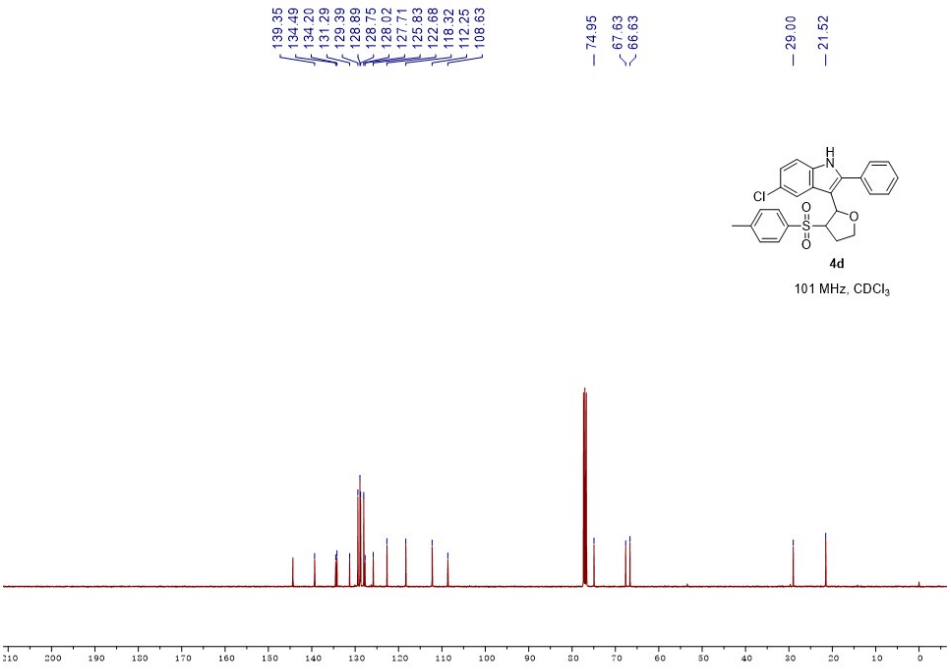
^{13}C NMR spectrum of compound 4c



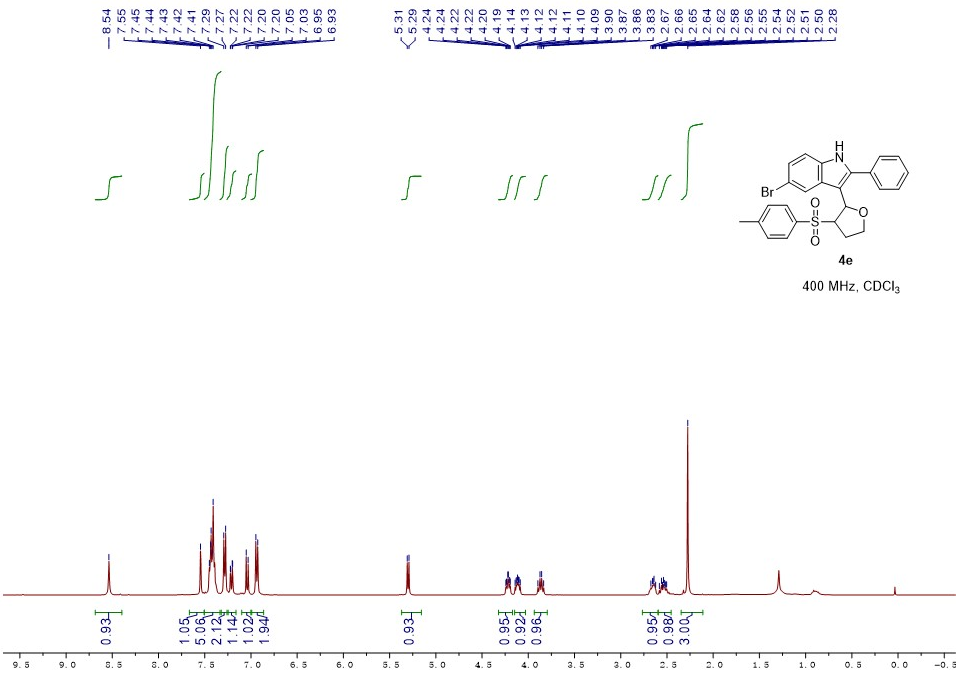
^{19}F NMR spectrum of compound 4c



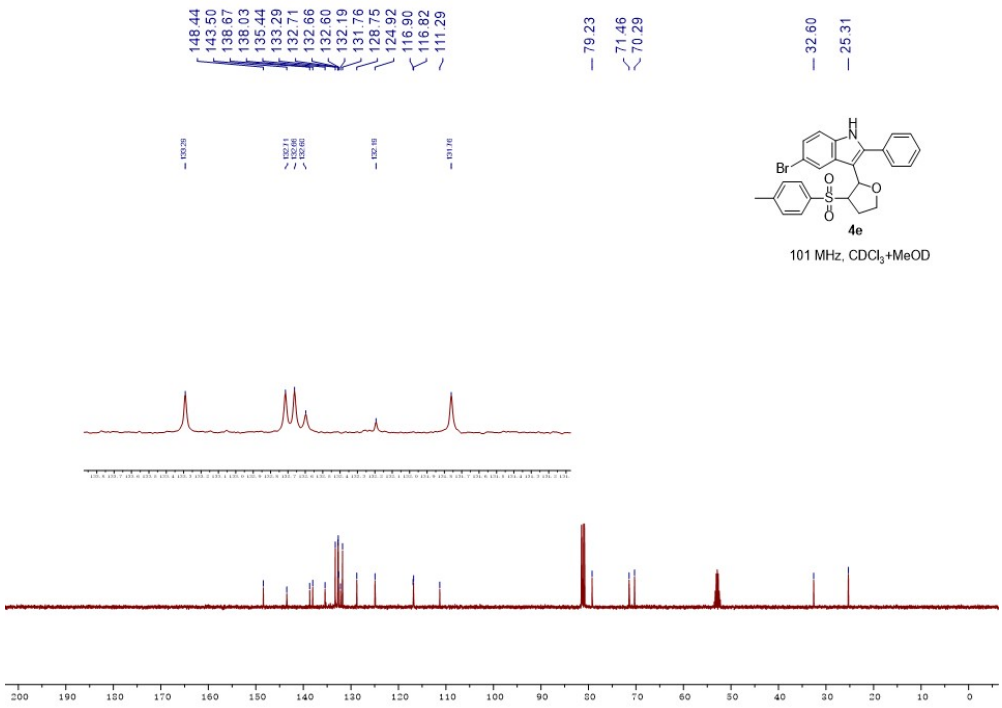
^{1}H NMR spectrum of compound 4d



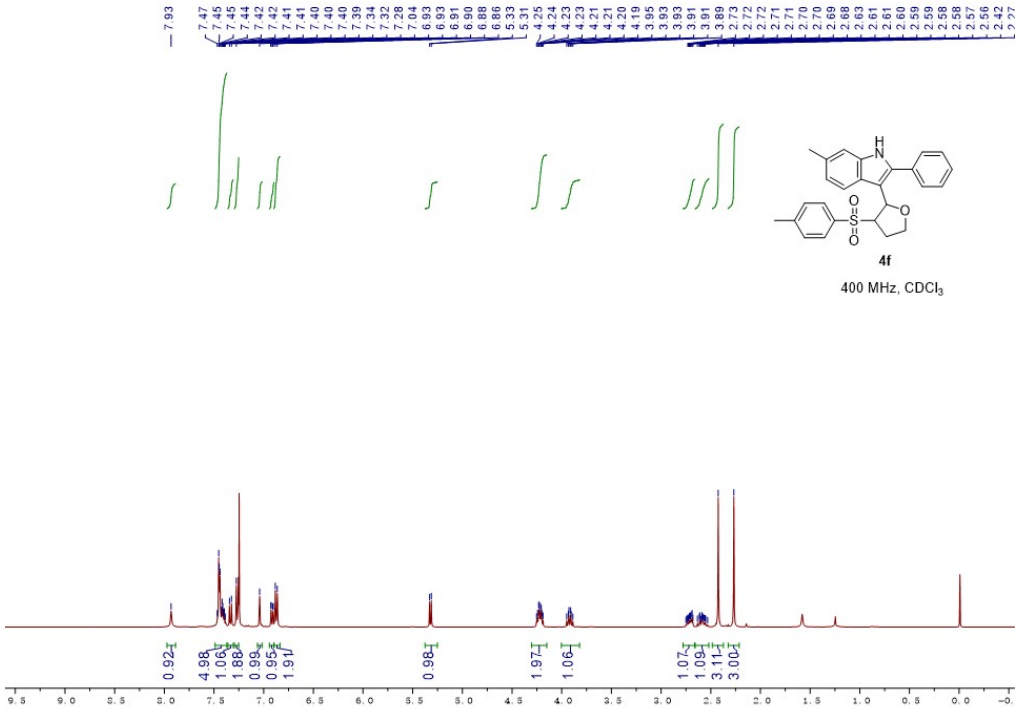
^{13}C NMR spectrum of compound 4d



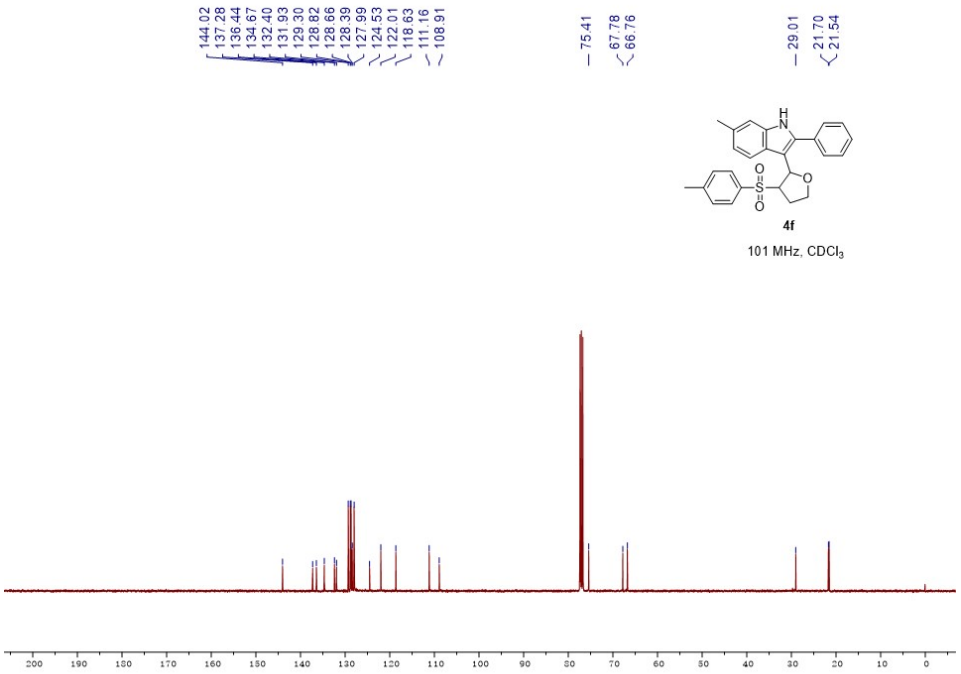
^{1}H NMR spectrum of compound 4e



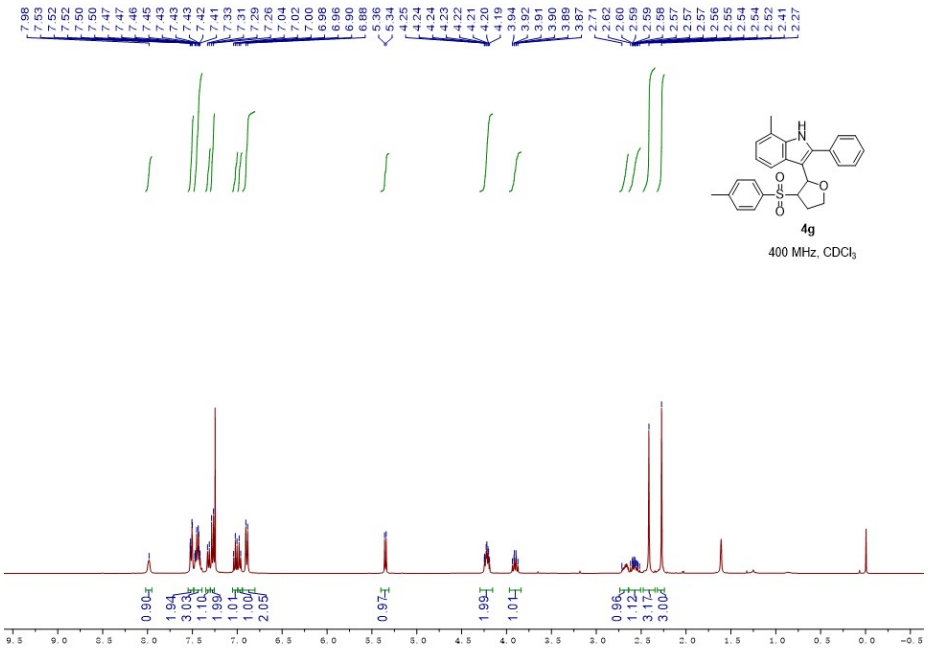
^{13}C NMR spectrum of compound 4e



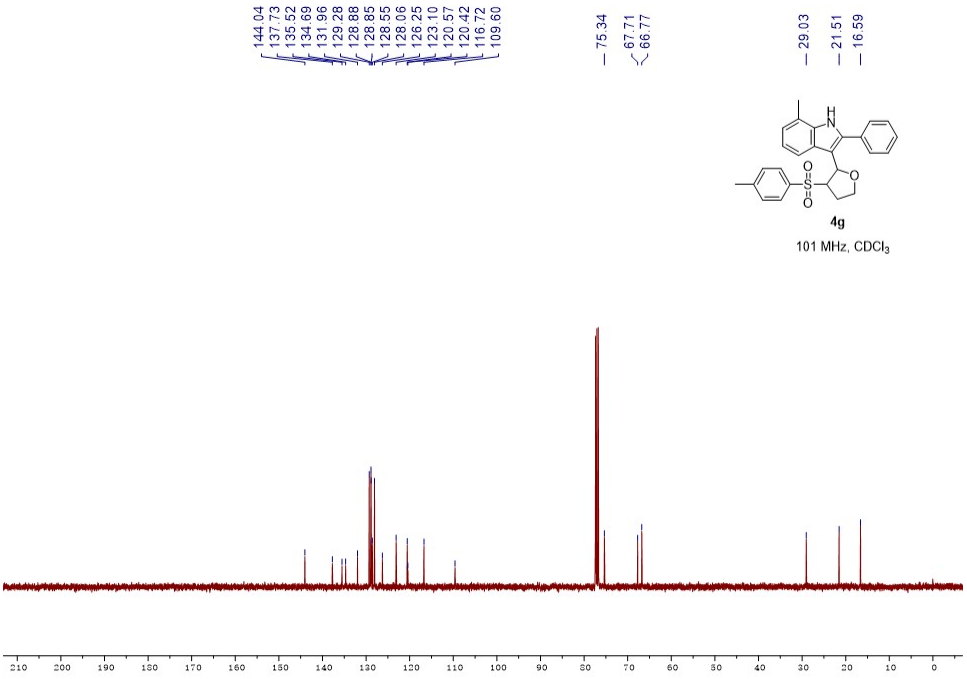
^{1}H NMR spectrum of compound 4f



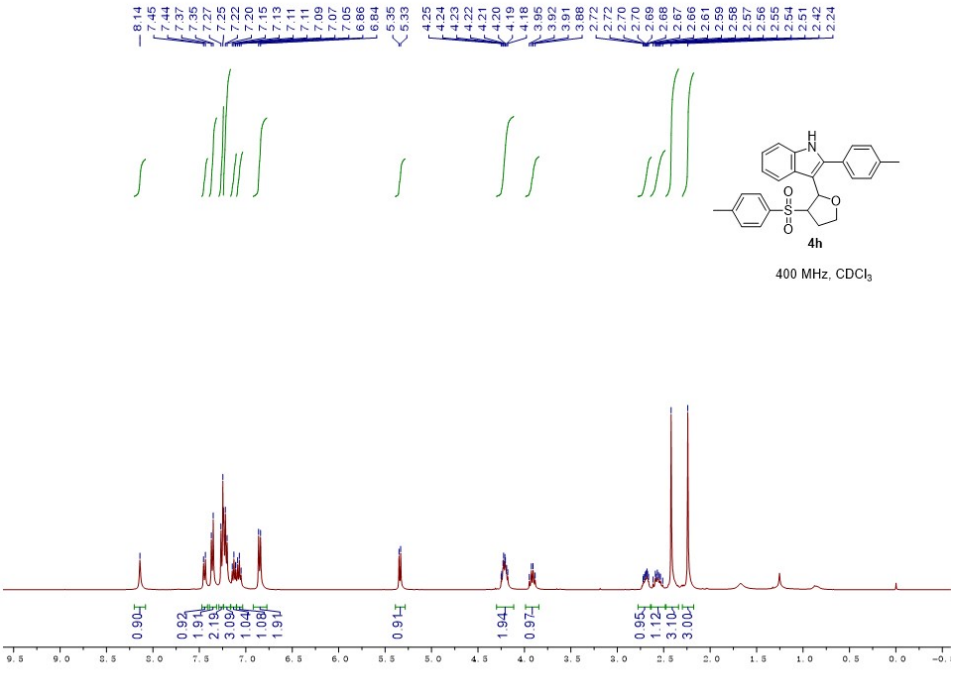
^{13}C NMR spectrum of compound 4f



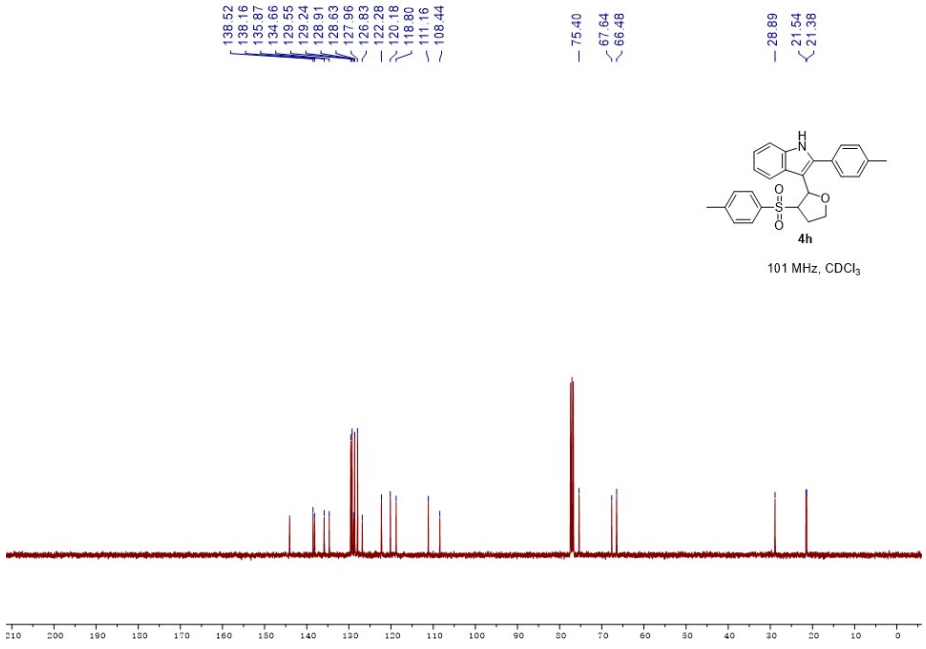
^{1}H NMR spectrum of compound 4g



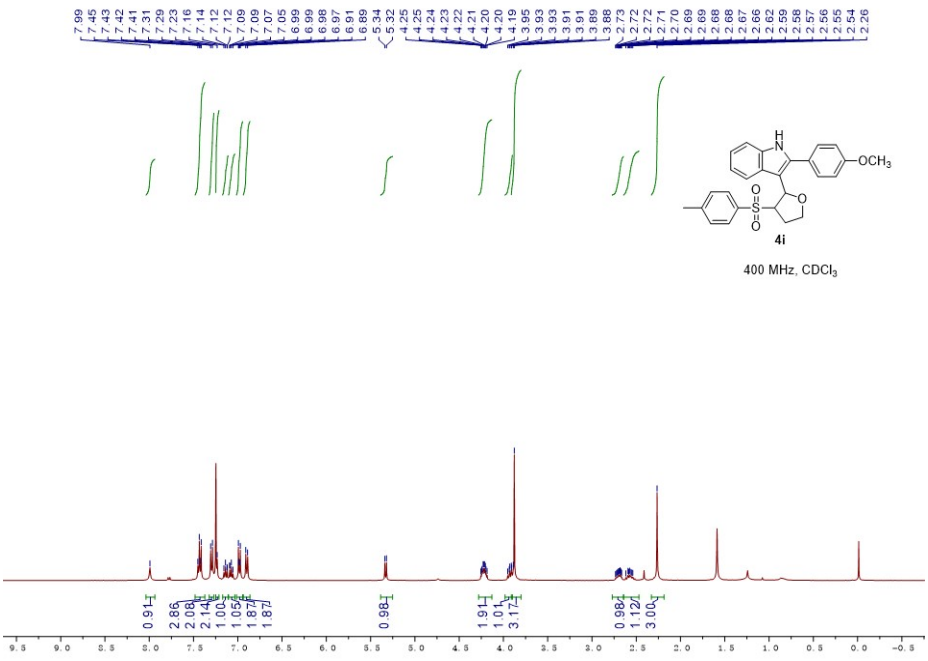
^{13}C NMR spectrum of compound 4g



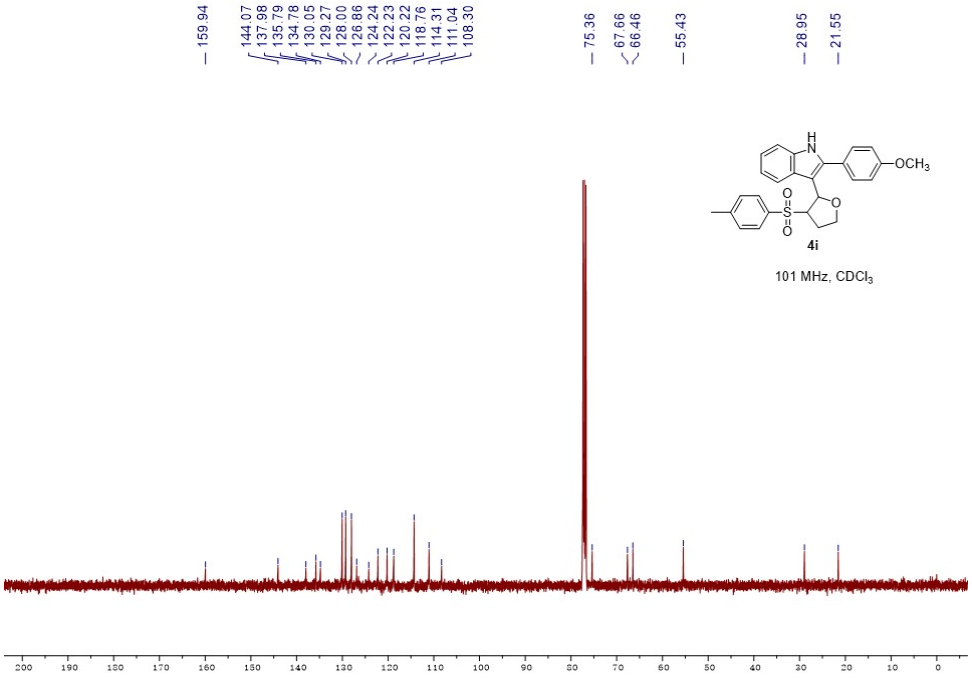
^{1}H NMR spectrum of compound 4h



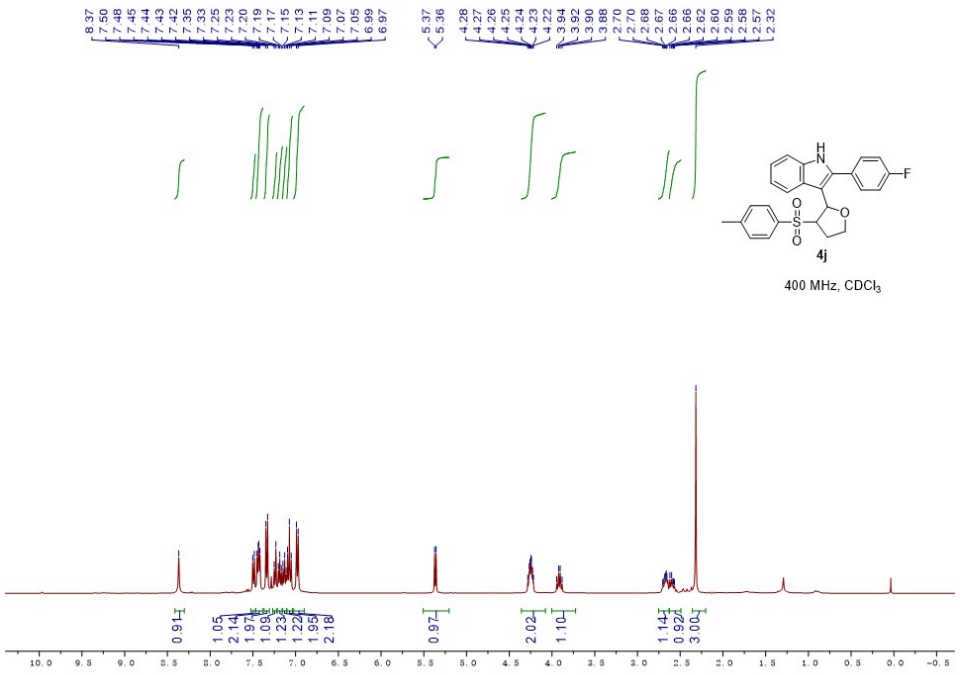
^{13}C NMR spectrum of compound 4h



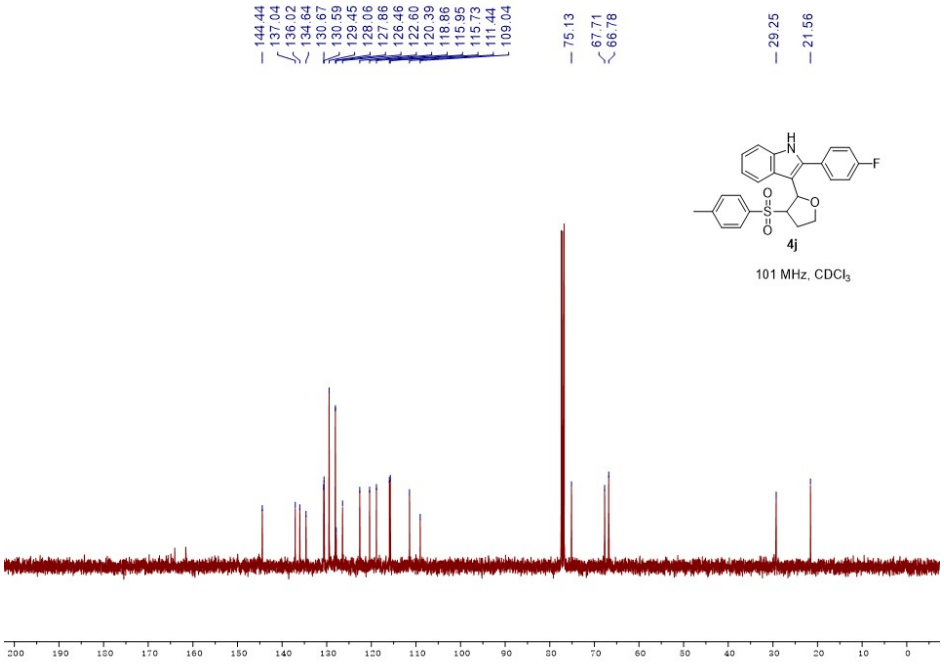
^{1}H NMR spectrum of compound 4i



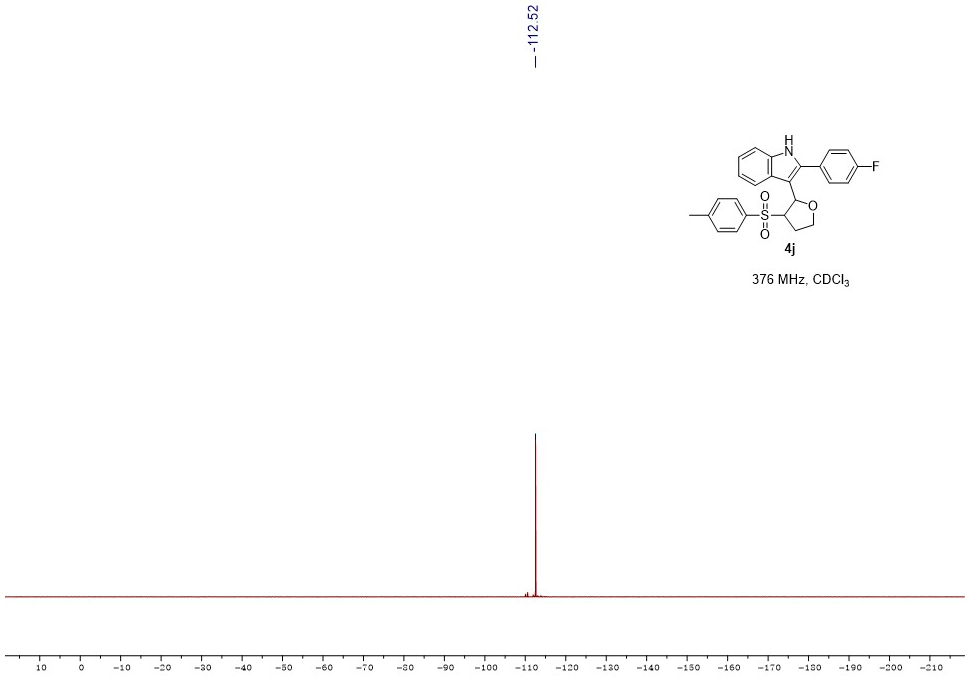
^{13}C NMR spectrum of compound 4i



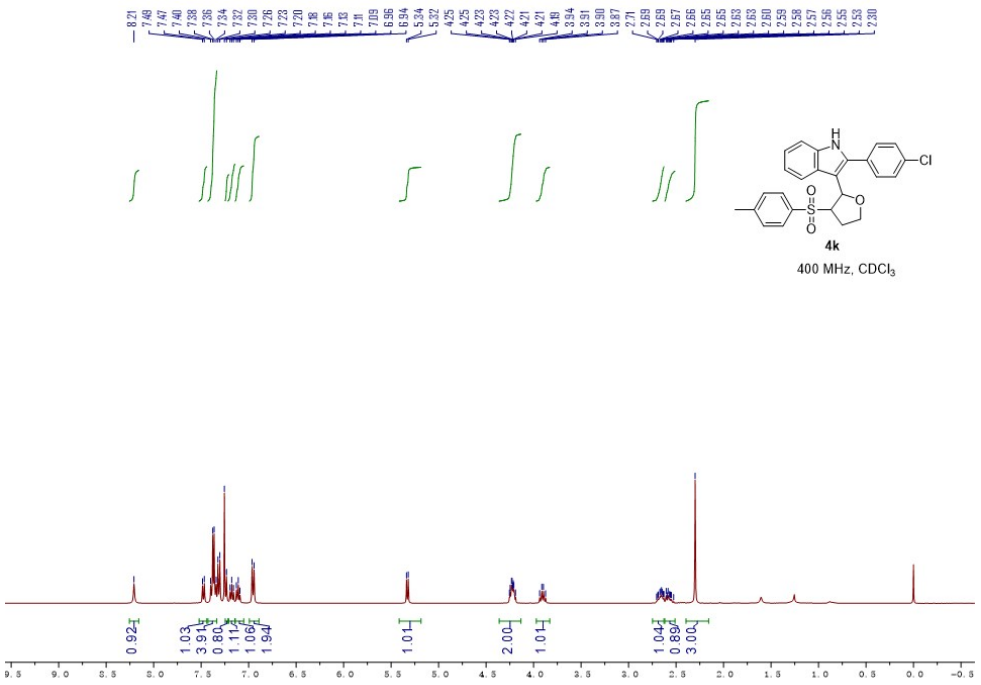
^{1}H NMR spectrum of compound 4j



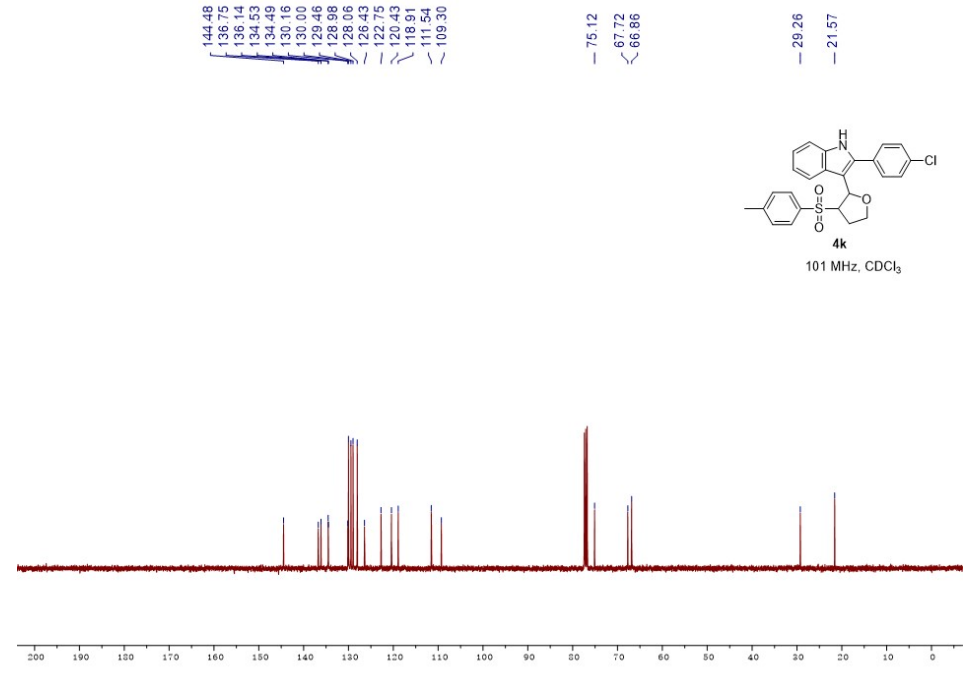
^{13}C NMR spectrum of compound 4j



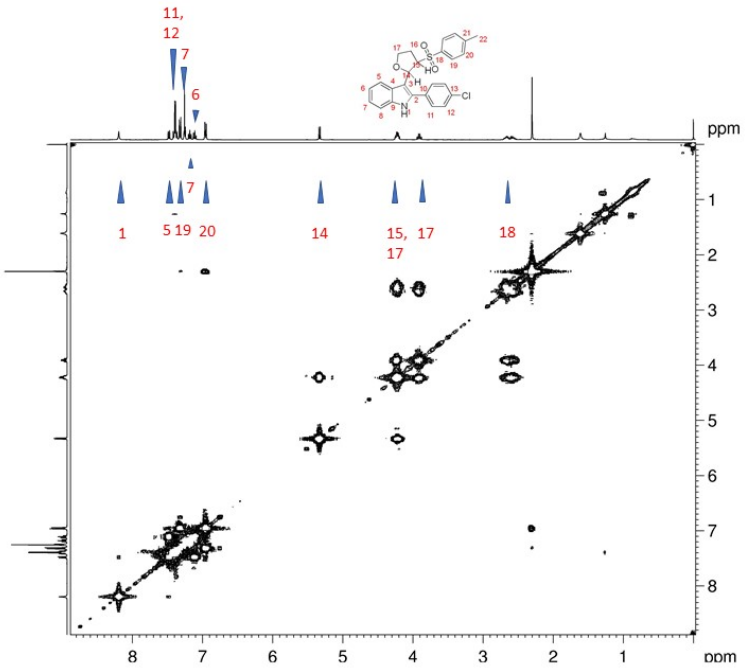
^{19}F NMR spectrum of compound 4j



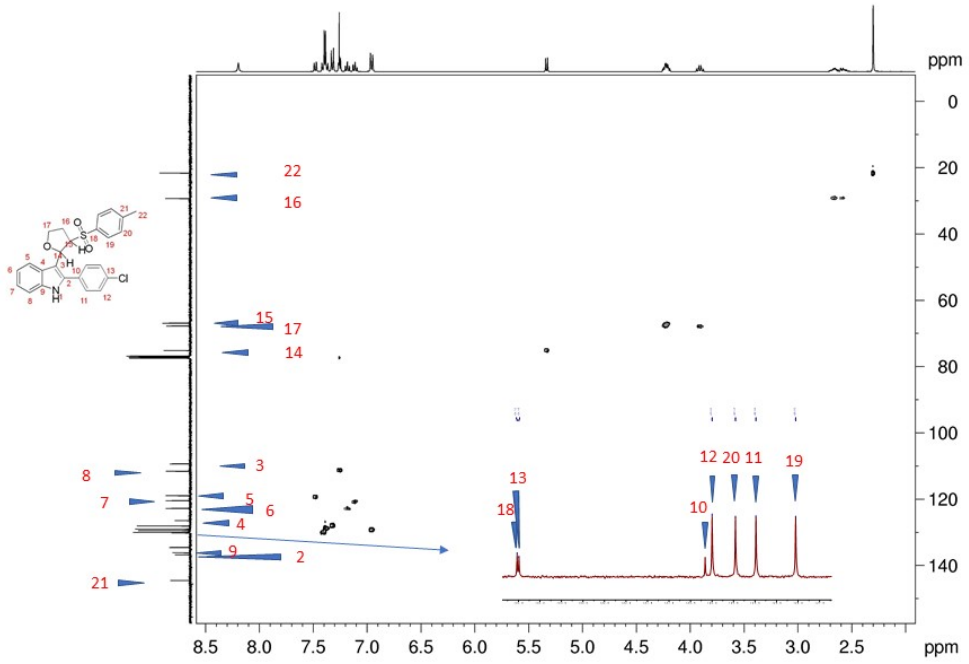
^{1}H NMR spectrum of compound 4k



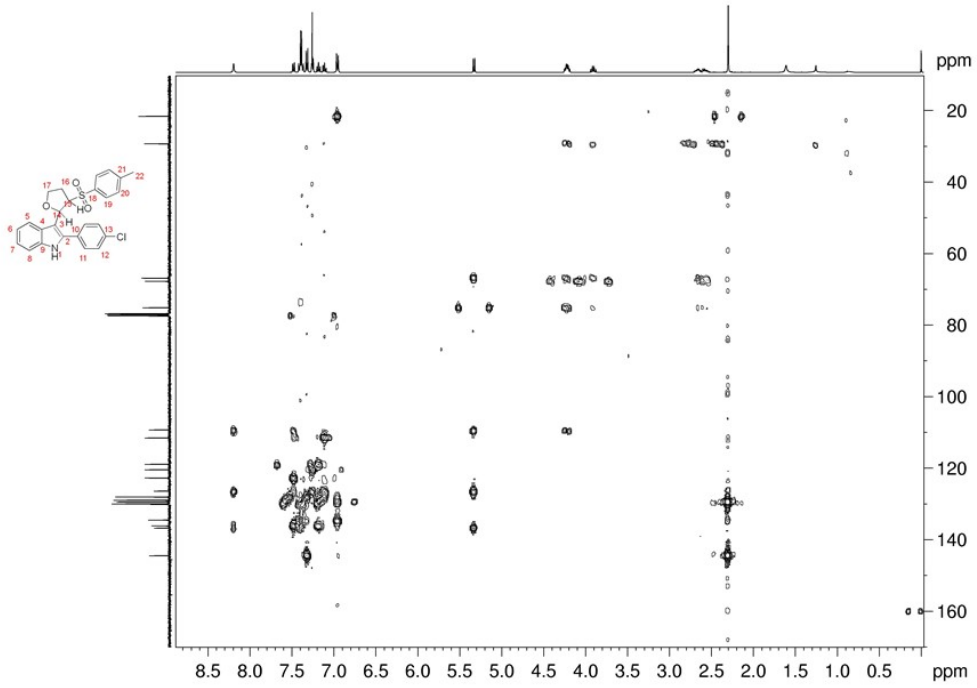
^{13}C NMR spectrum of compound 4k



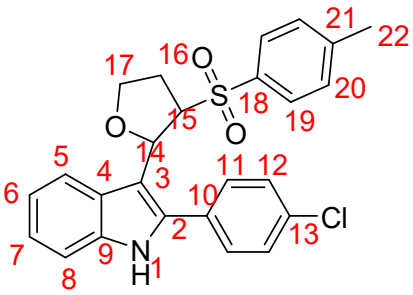
COSY (^{1}H - ^{1}H) spectrum of compound 4k

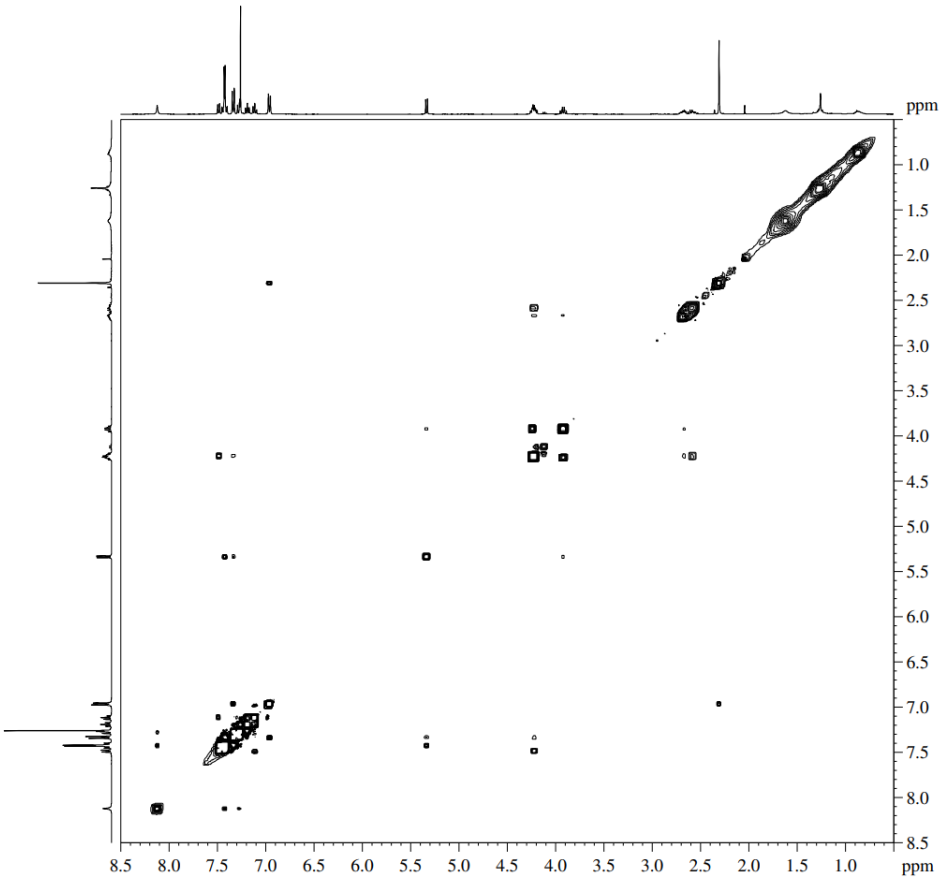


COSY (^{13}C - ^{1}H) (HSQC) spectrum of compound 4k

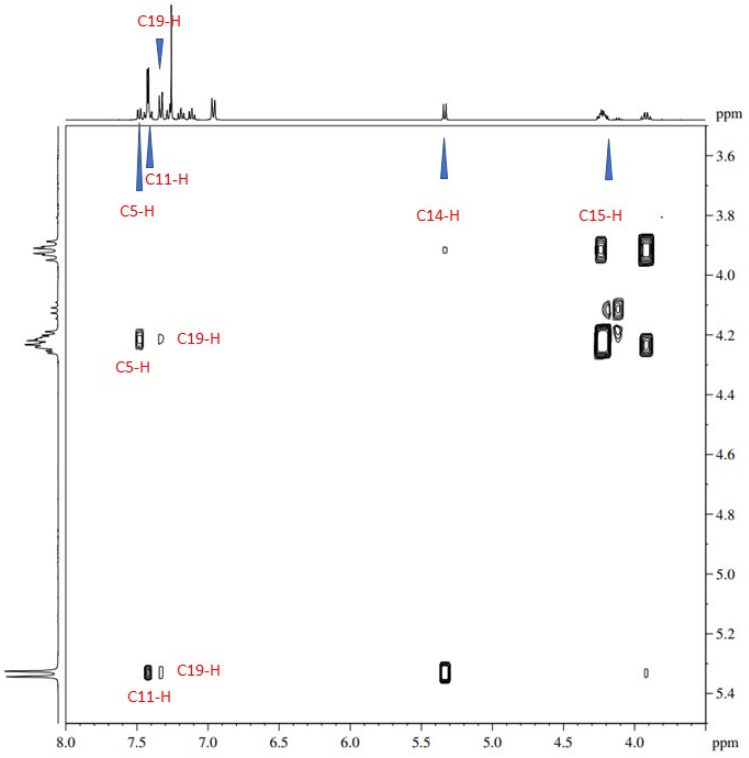


COSY (^{13}C - ^{1}H) (HMBC) spectrum of compound 4k

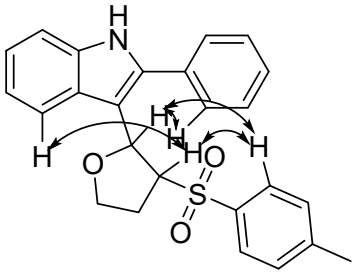




2D-NOESY (^{1}H - ^{1}H) spectrum of 4k

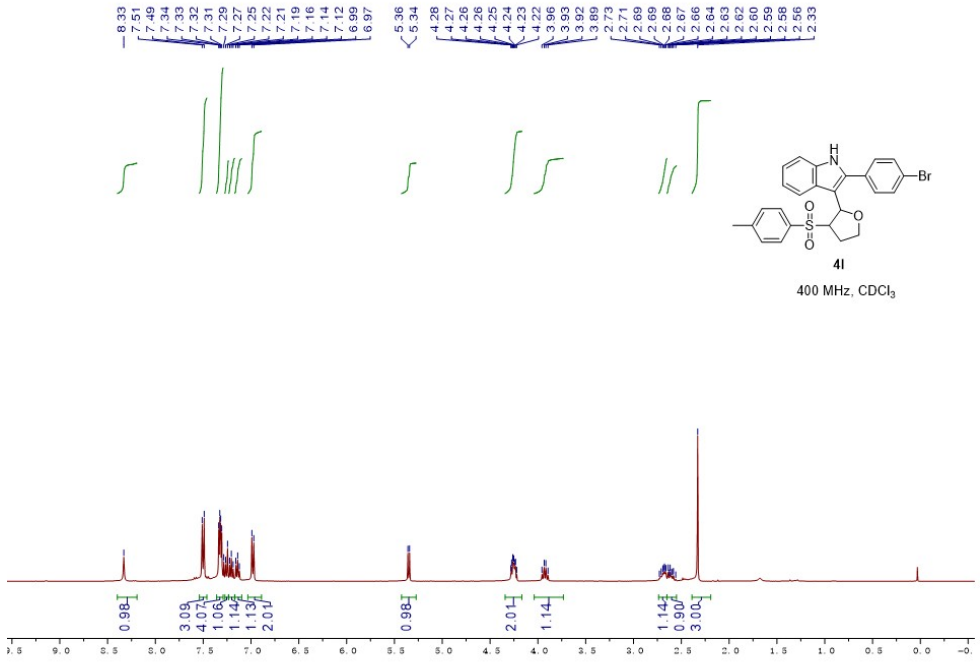


2D-NOESY (^{1}H - ^{1}H) spectrum of 4k

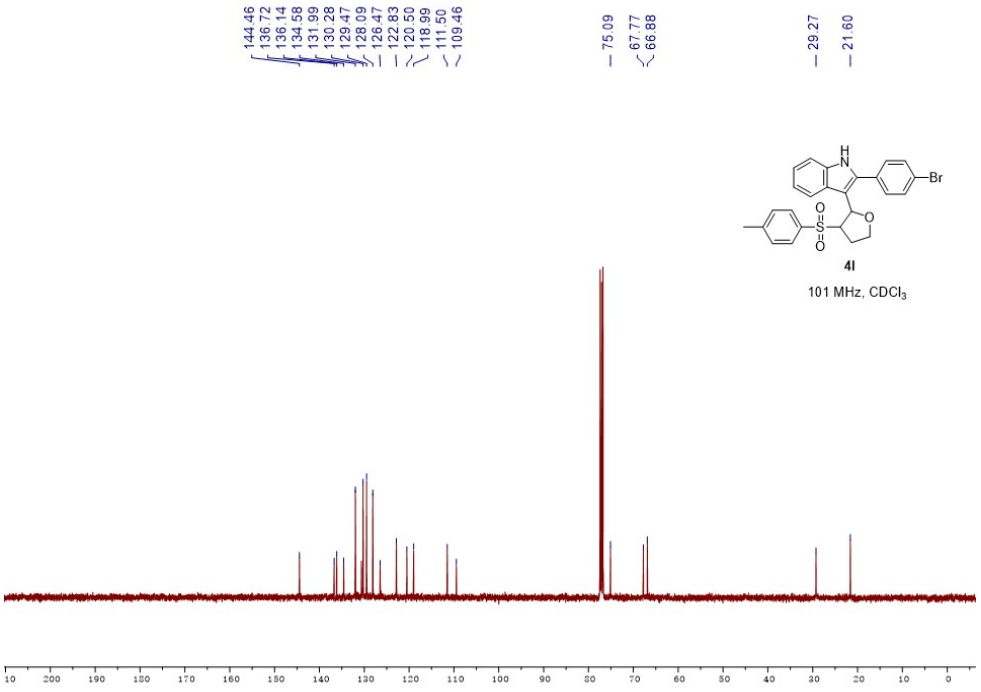


Observed NOE effects on C14-H and C15-H.

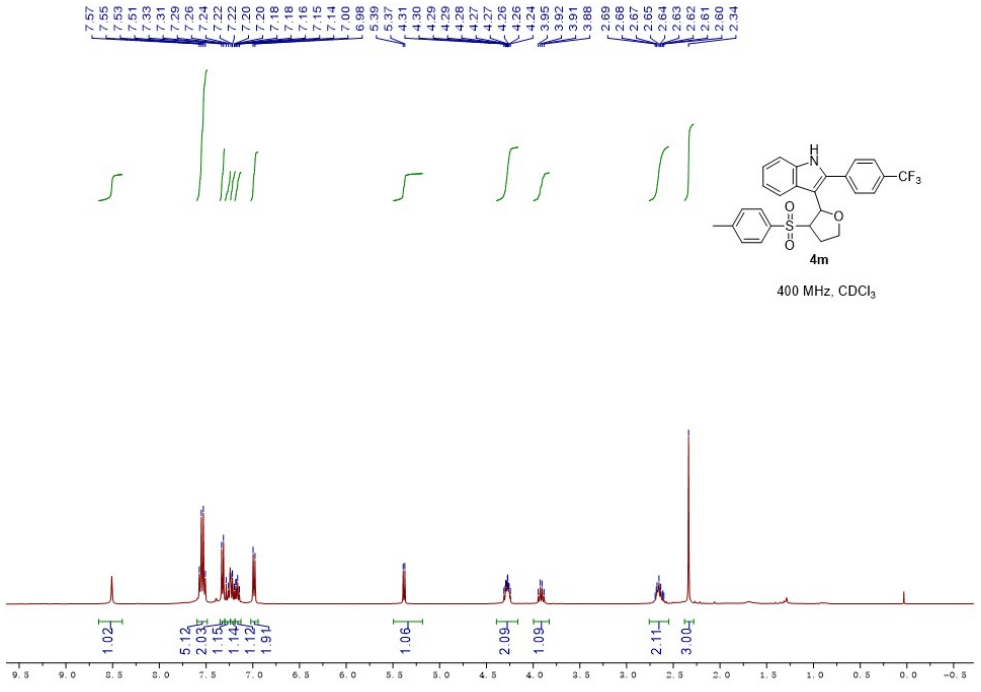
The correction of C14-H with C11-H and C19-H as well as C15-H with C5-H and C19-H was observed in NOE spectrum, respectively. The results indicated that the C14-H and C15-H are in trans-form.



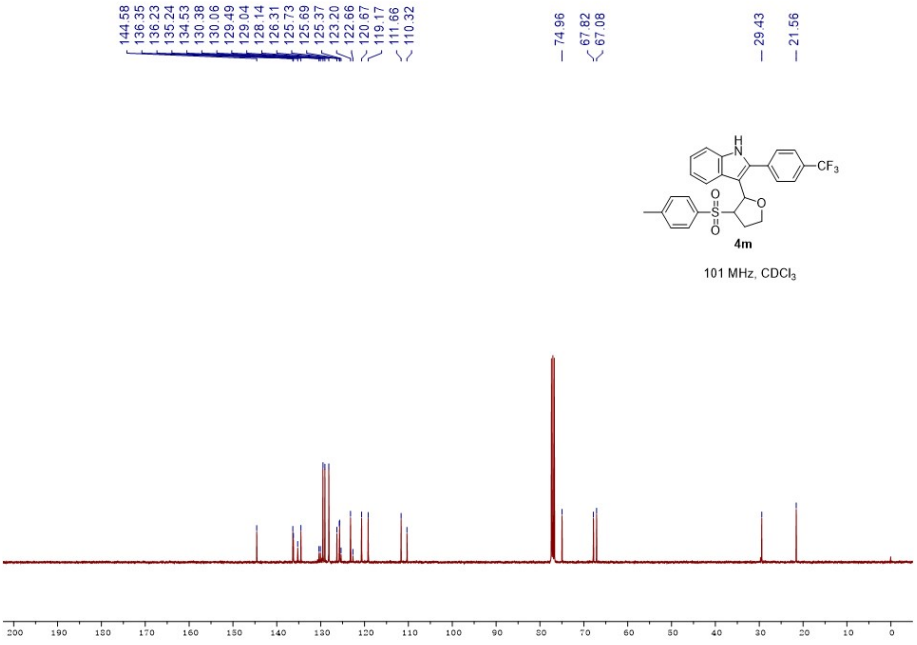
^{1}H NMR spectrum of compound 4l



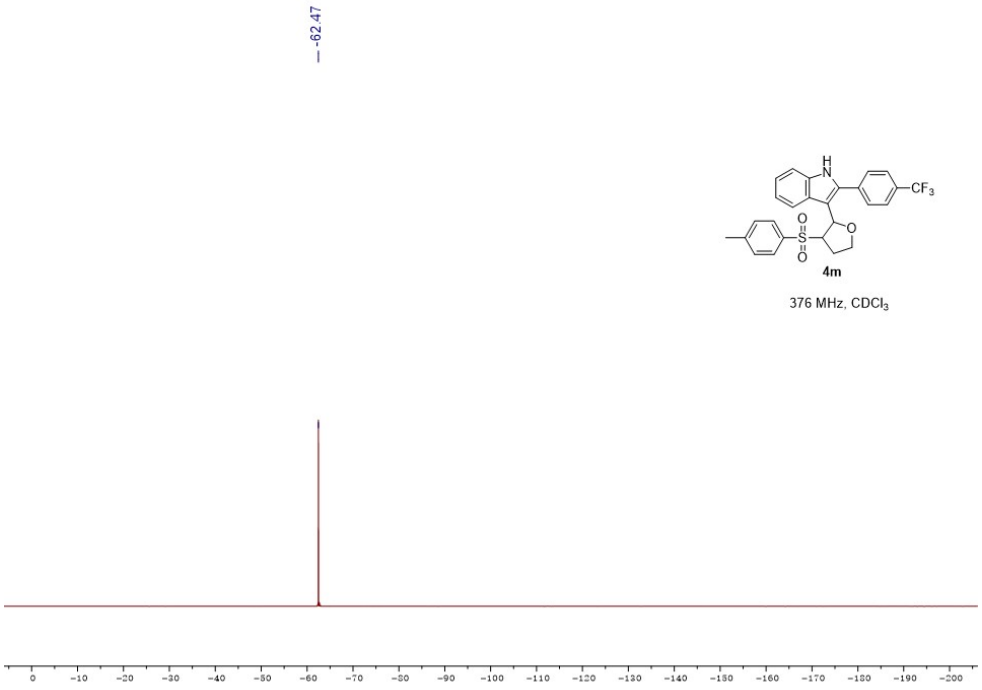
^{13}C NMR spectrum of compound 4l



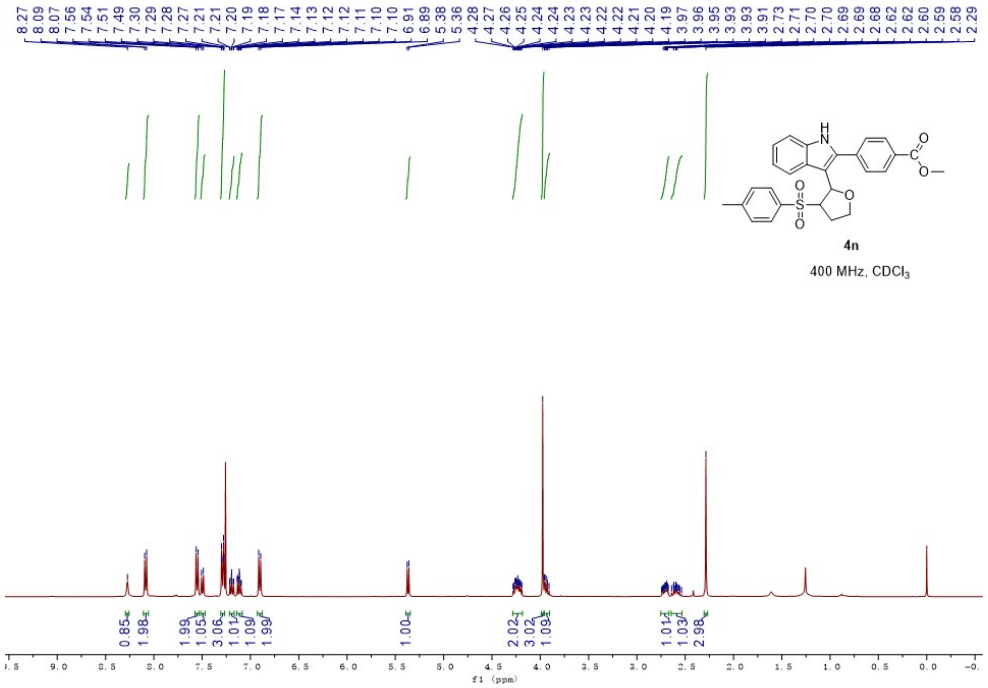
^{1}H NMR spectrum of compound 4m



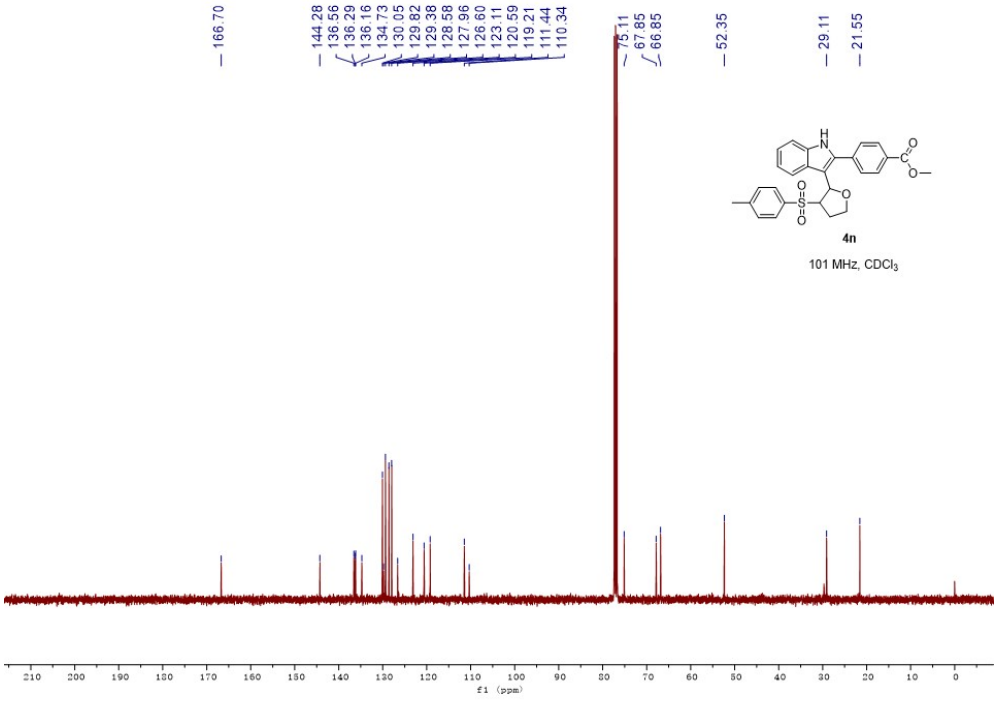
^{13}C NMR spectrum of compound 4m



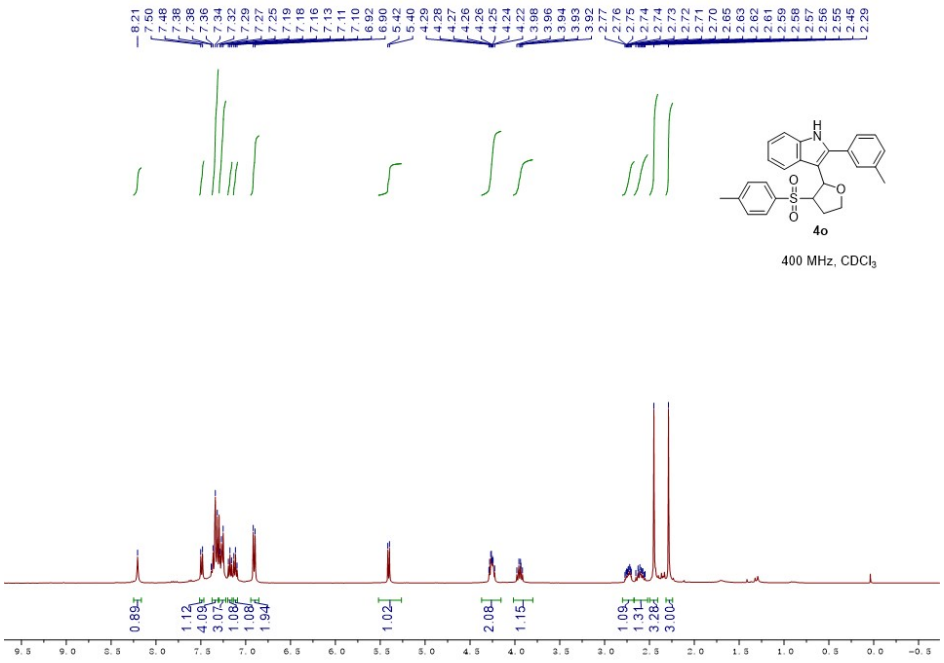
^{19}F NMR spectrum of compound 4m



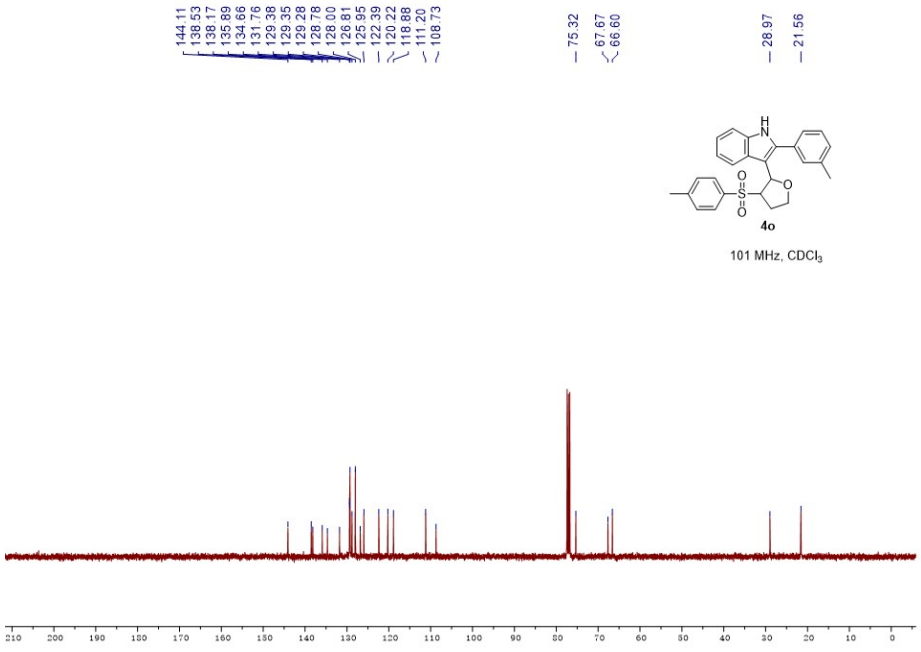
^{1}H NMR spectrum of compound 4n



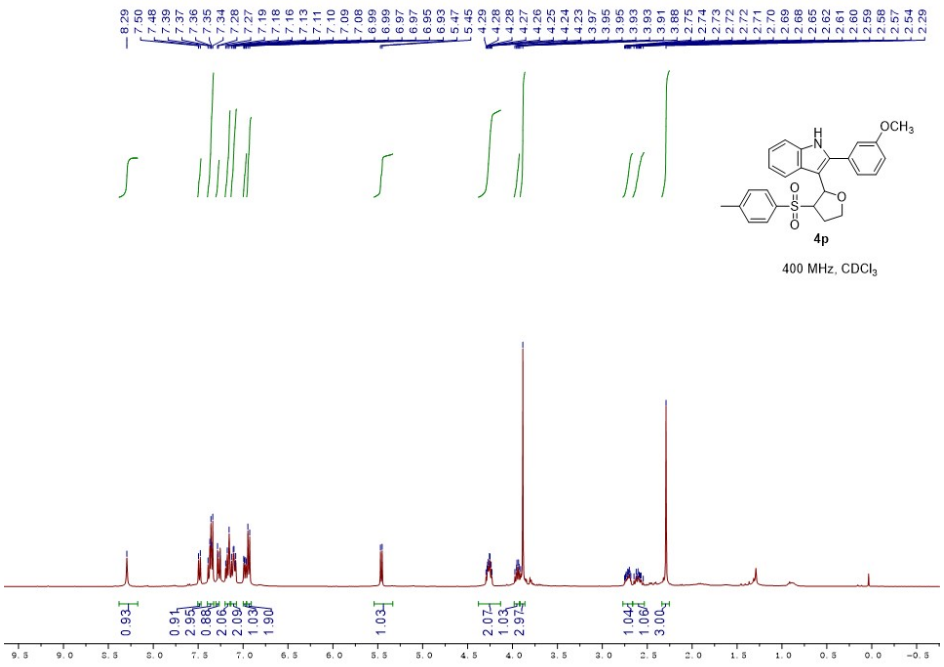
^{13}C NMR spectrum of compound 4n



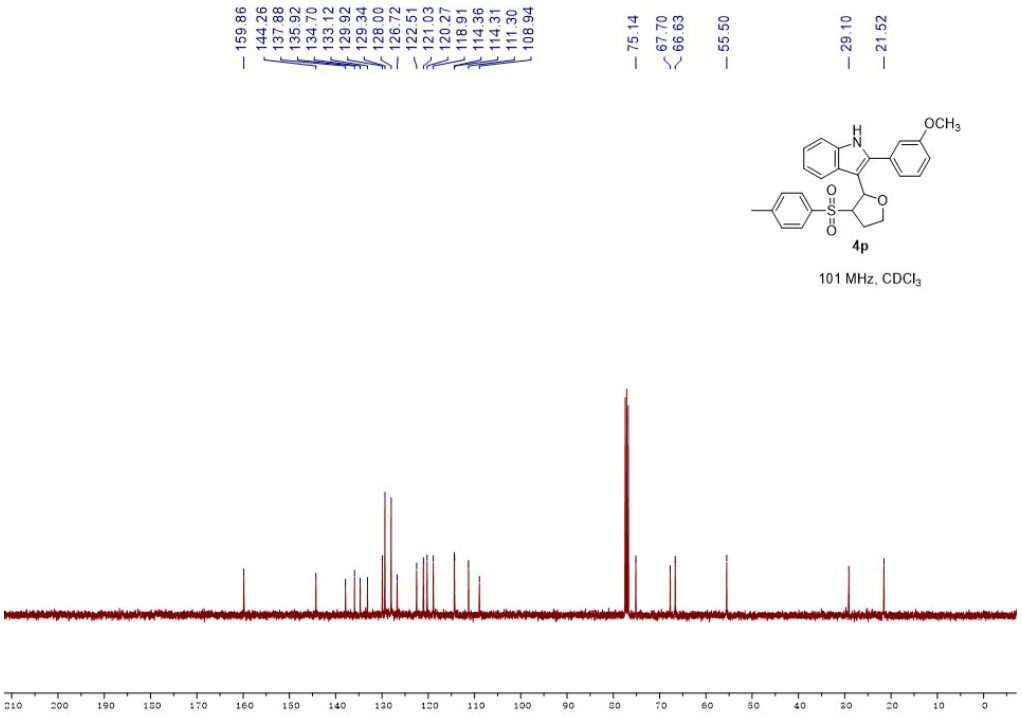
^{1}H NMR spectrum of compound 4o



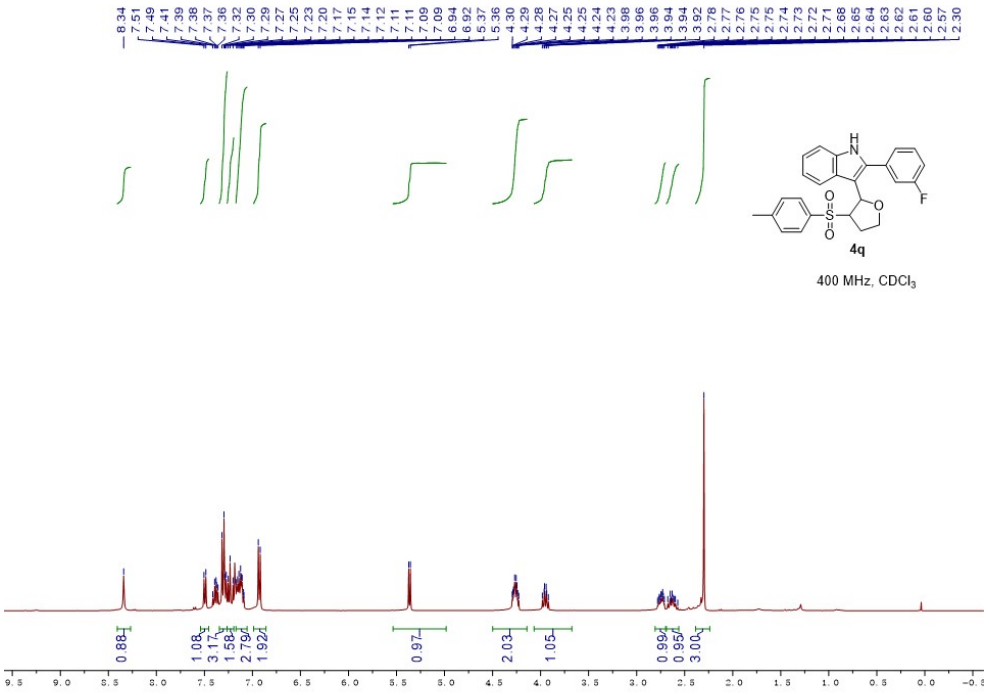
^{13}C NMR spectrum of compound 4o



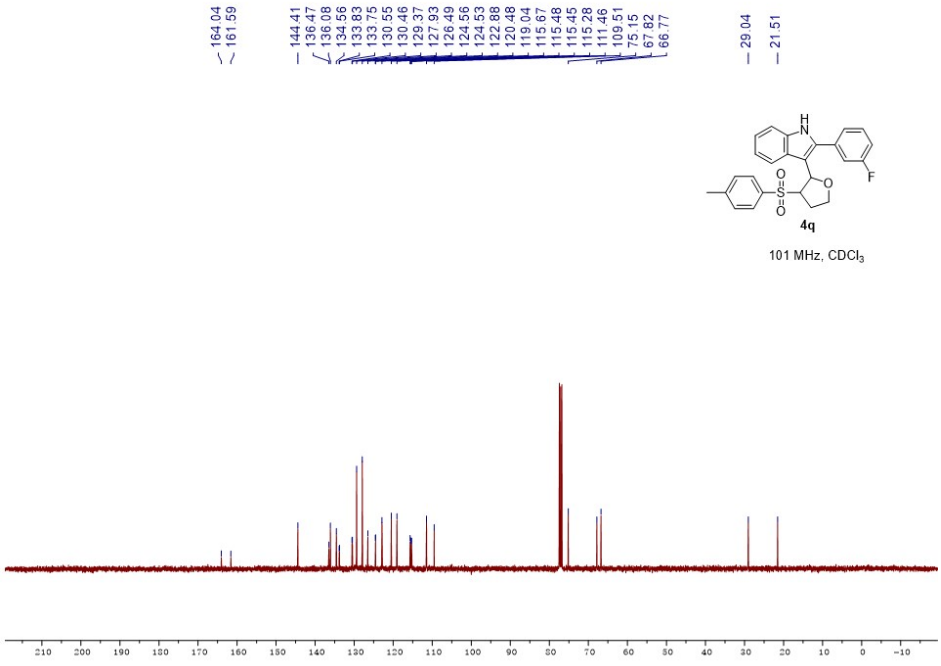
^{1}H NMR spectrum of compound 4p



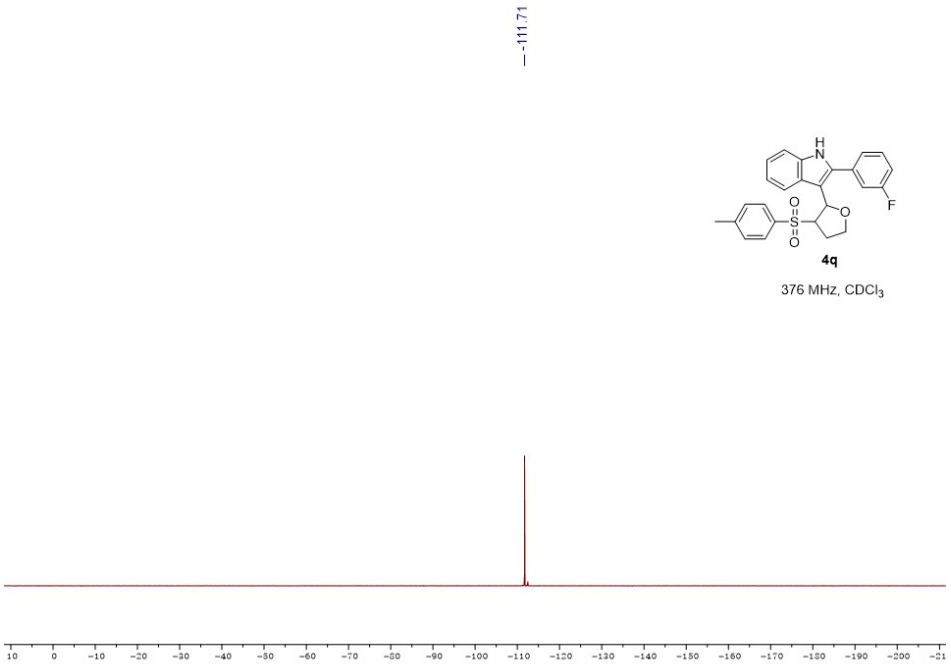
^{13}C NMR spectrum of compound 4p



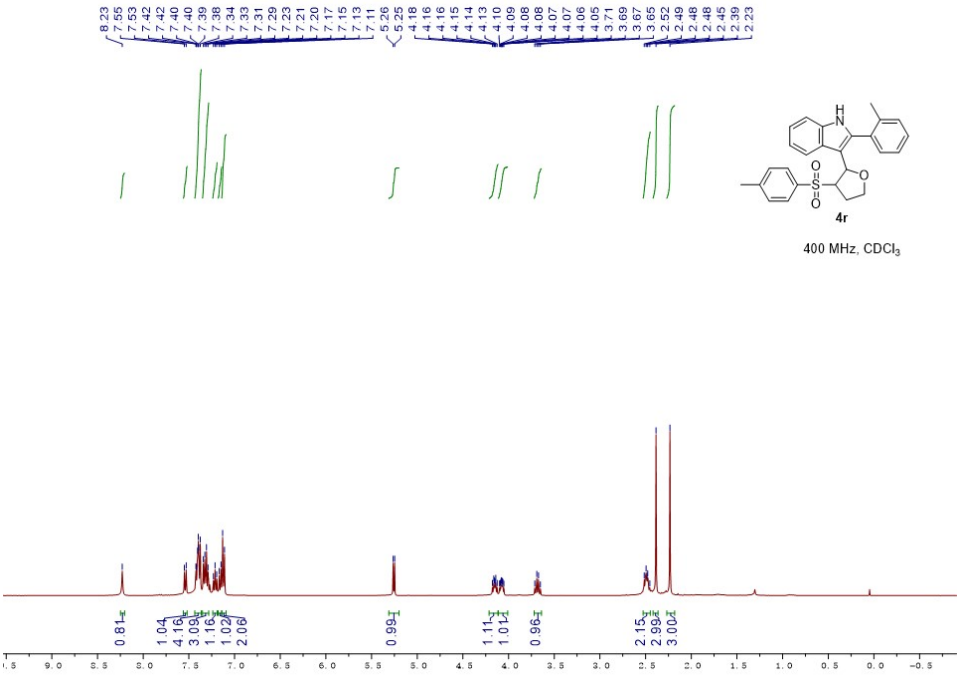
^{1}H NMR spectrum of compound 4q



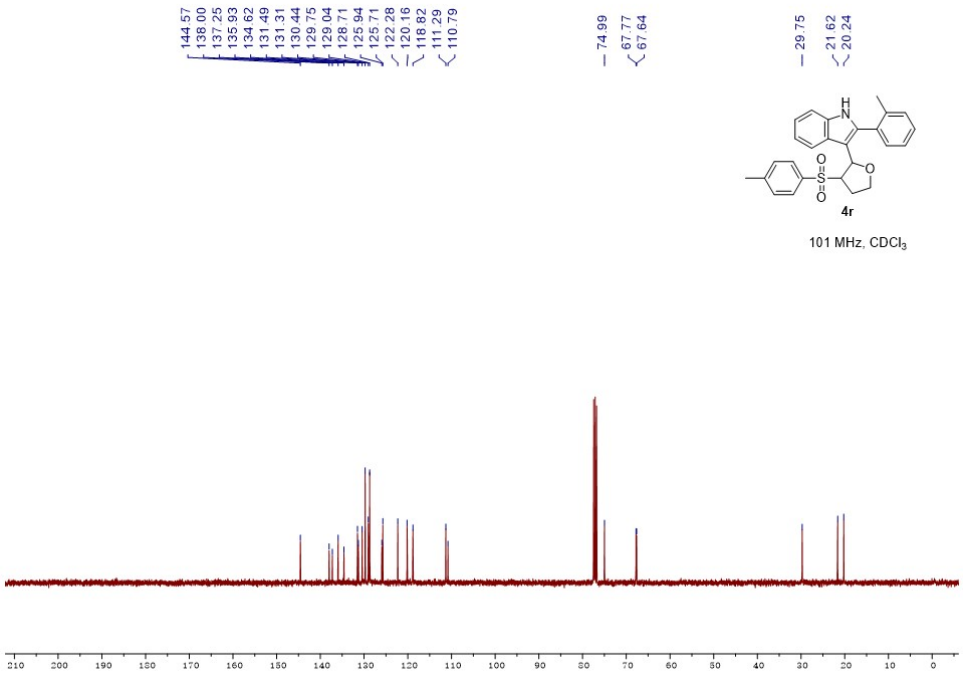
^{13}C NMR spectrum of compound 4q



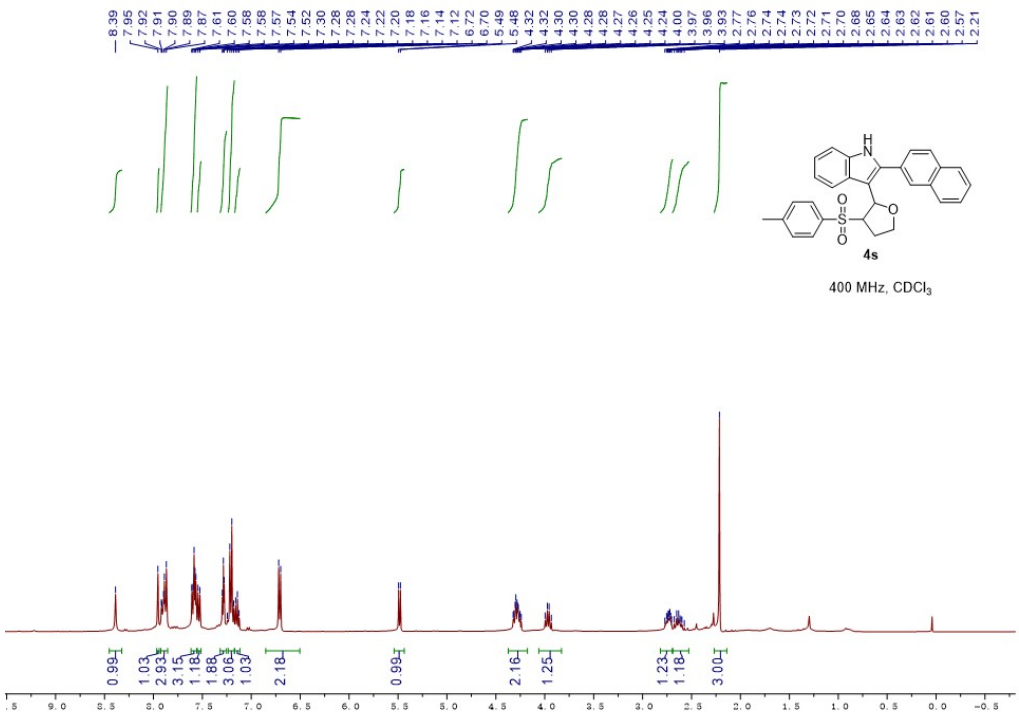
^{19}F NMR spectrum of compound 4q



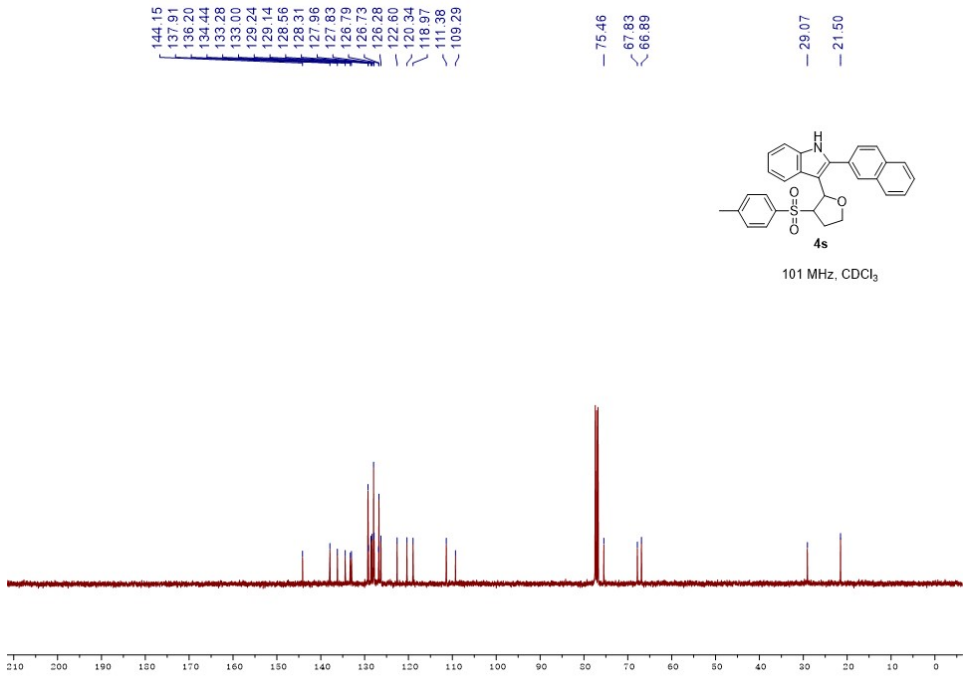
^{1}H NMR spectrum of compound 4r



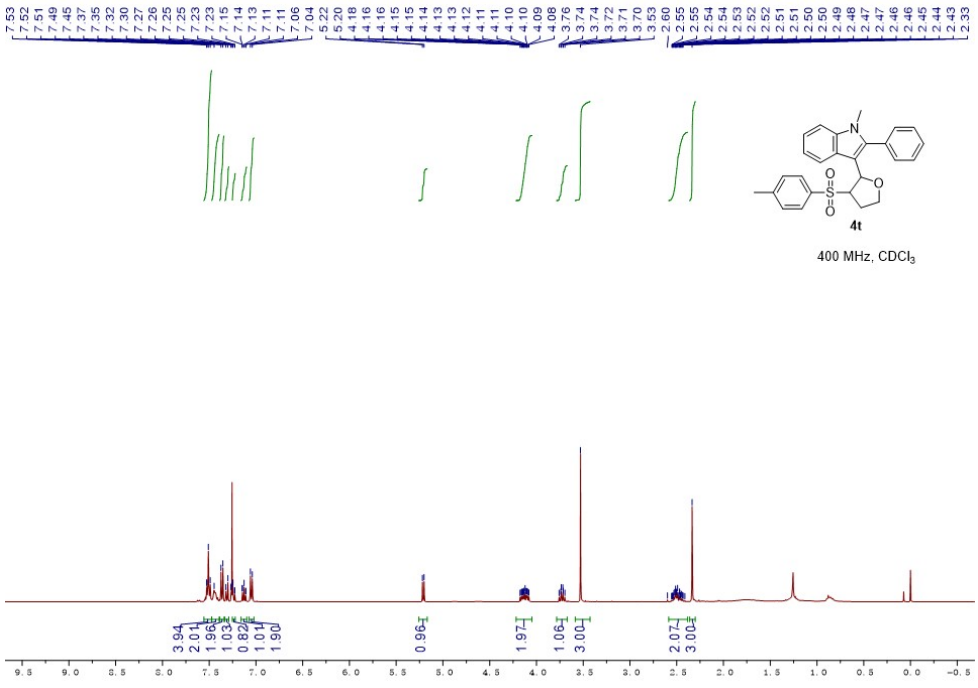
^{13}C NMR spectrum of compound 4r



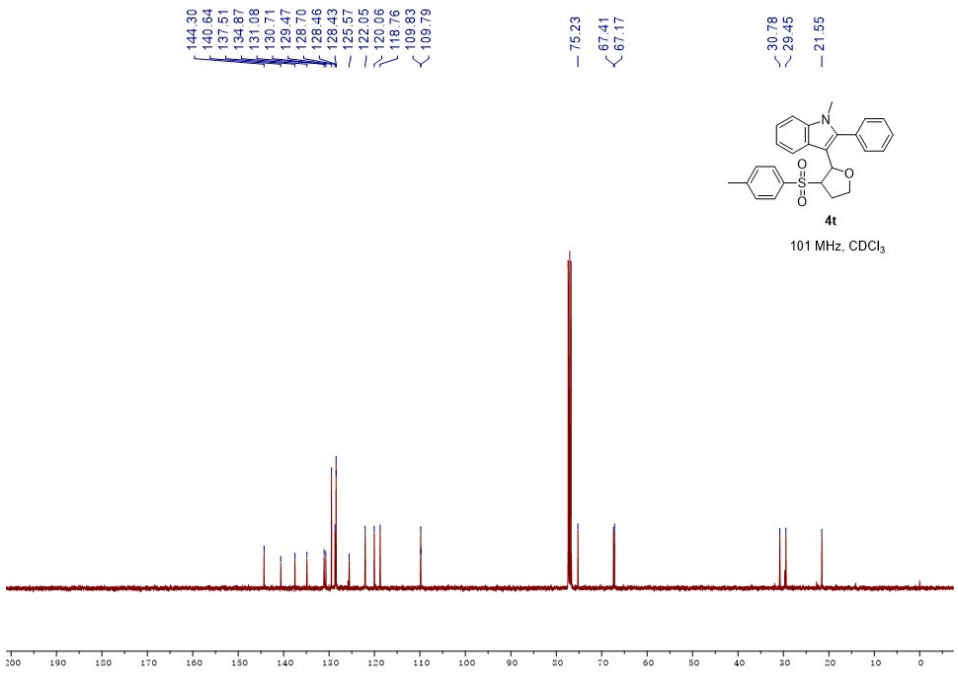
^{1}H NMR spectrum of compound 4s



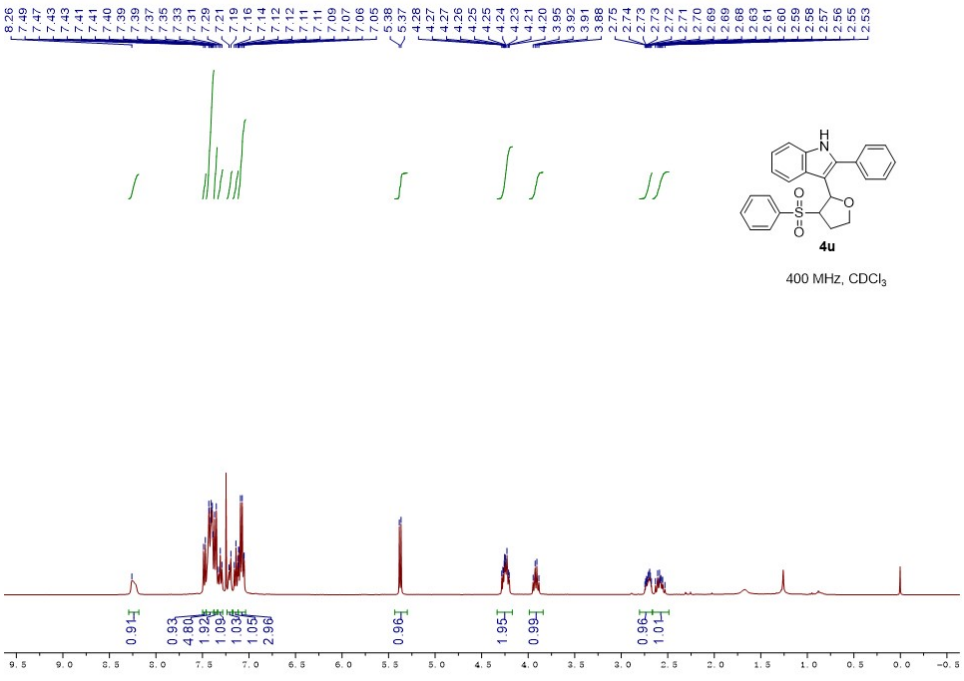
^{13}C NMR spectrum of compound 4s



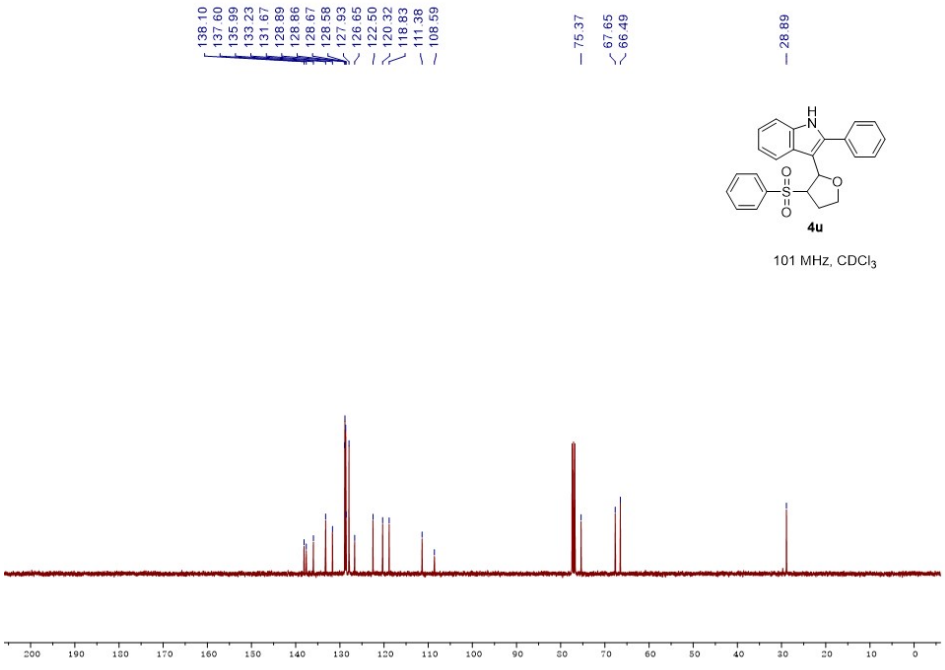
^{1}H NMR spectrum of compound 4t



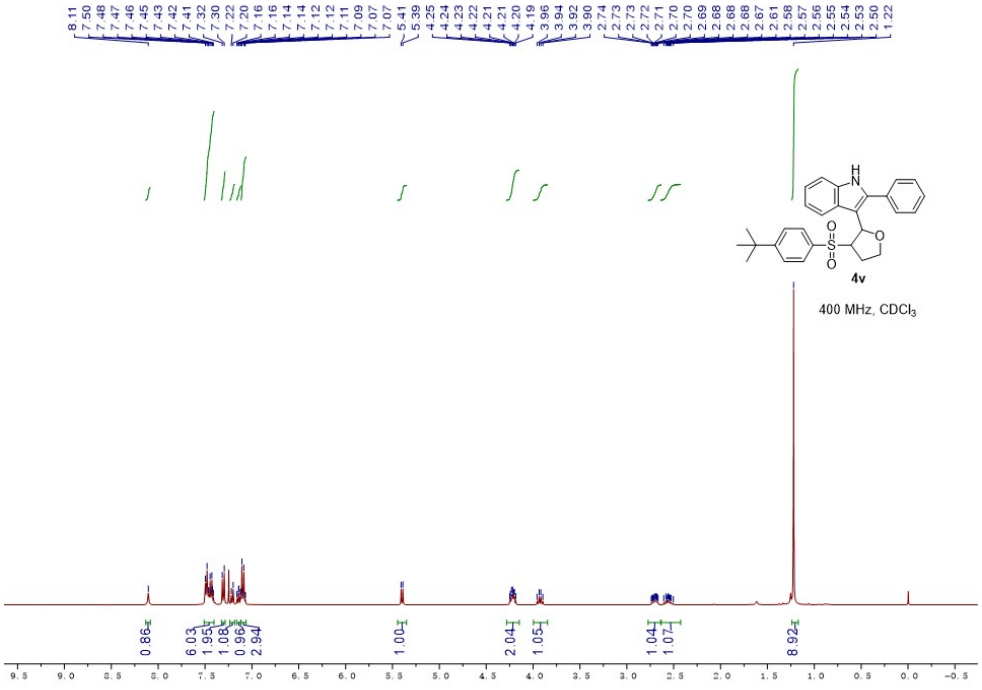
^{13}C NMR spectrum of compound 4t



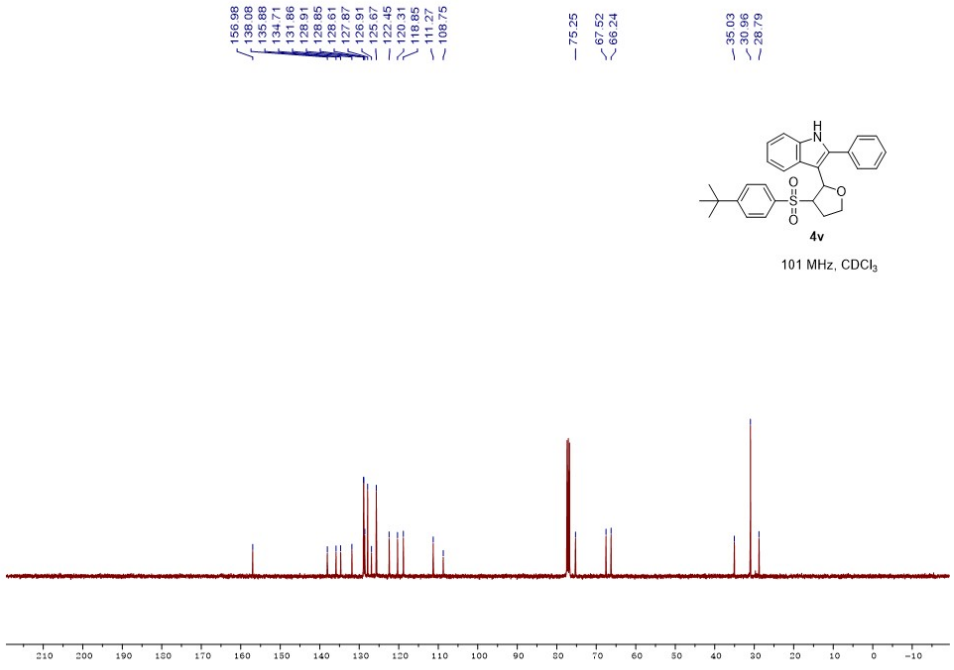
^{1}H NMR spectrum of compound 4u



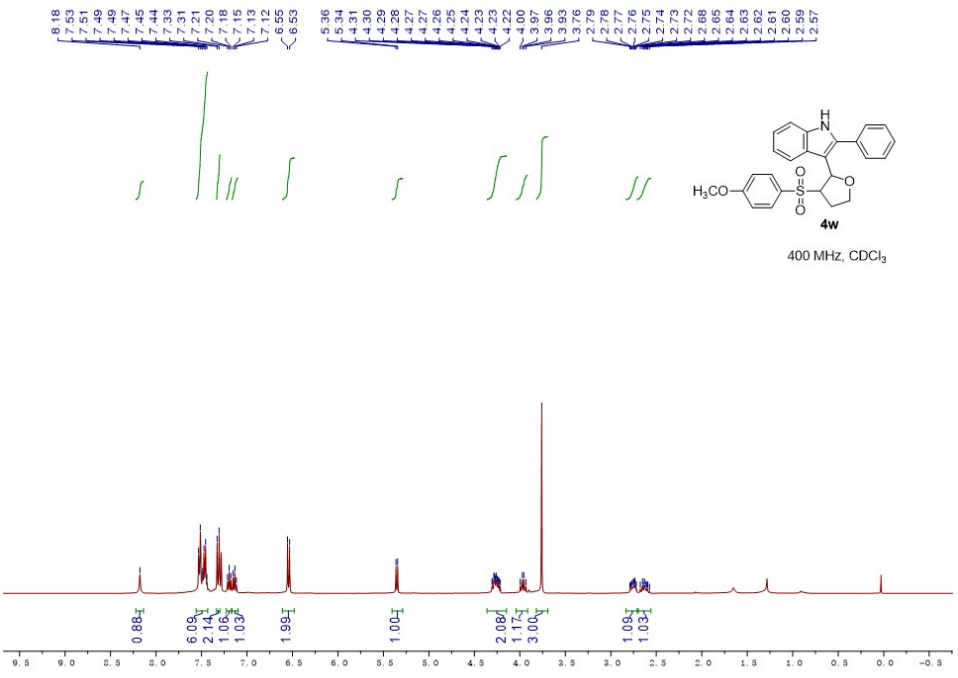
^{13}C NMR spectrum of compound 4u



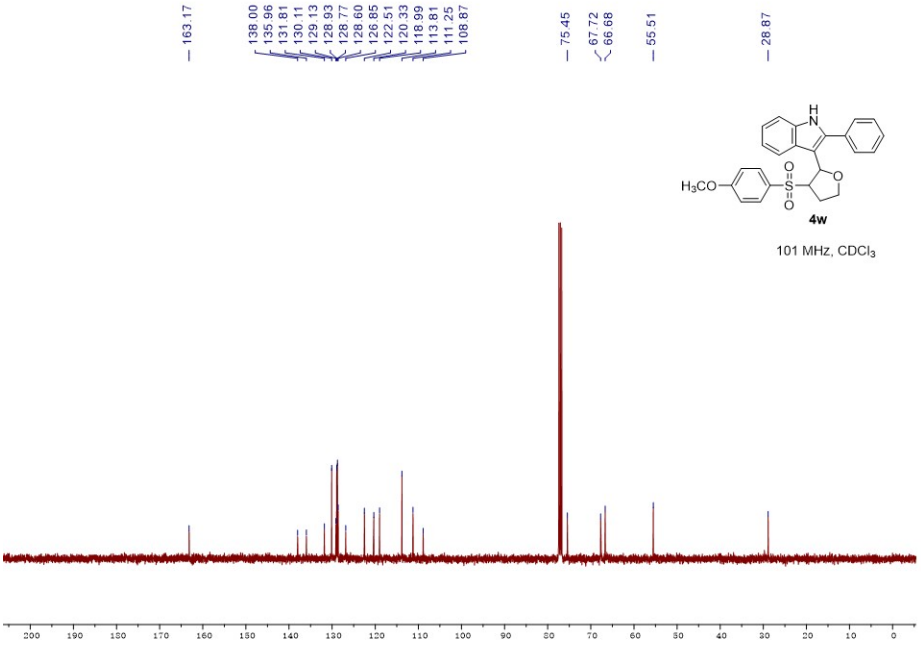
^{1}H NMR spectrum of compound 4v



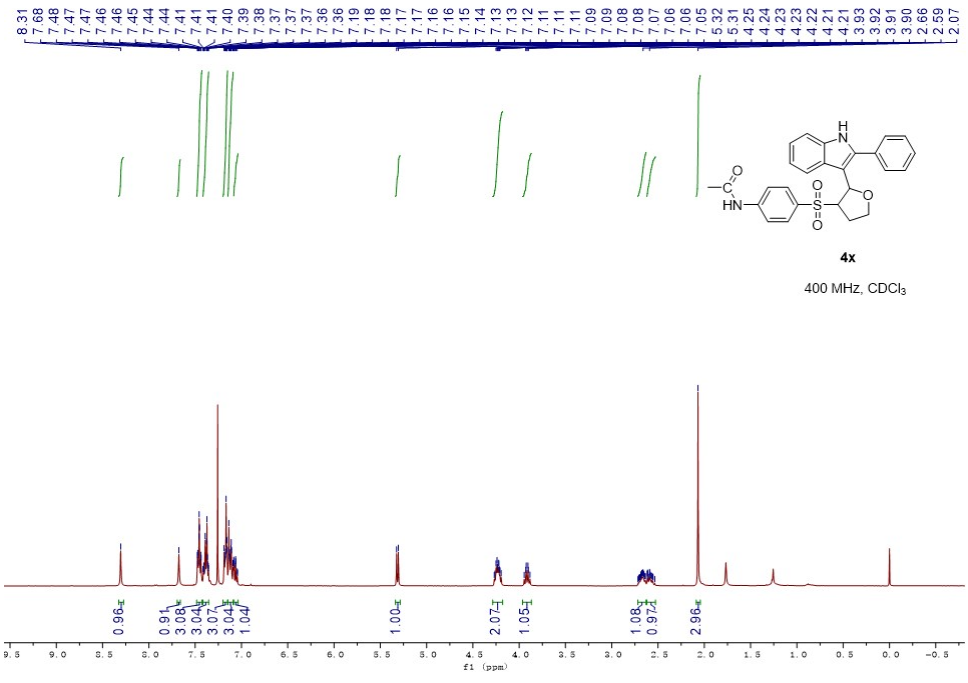
^{13}C NMR spectrum of compound 4v



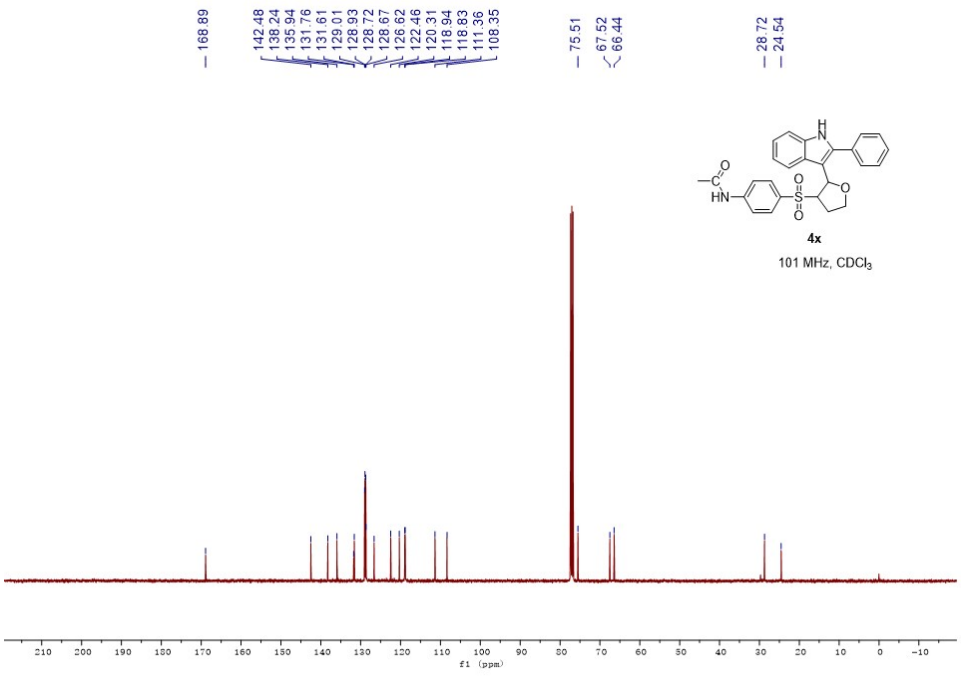
^{1}H NMR spectrum of compound 4w



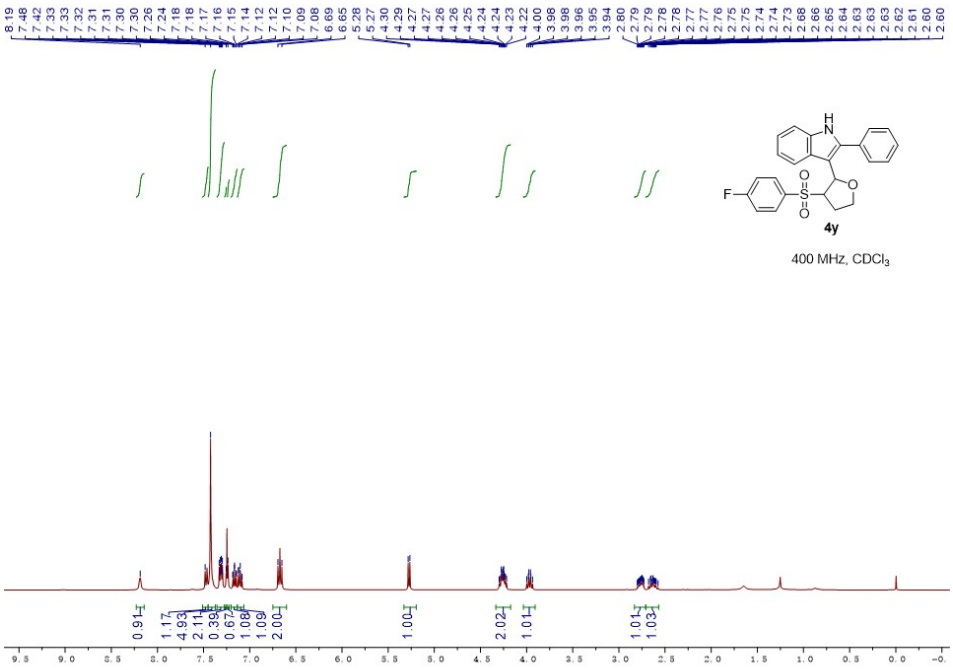
^{13}C NMR spectrum of compound 4w



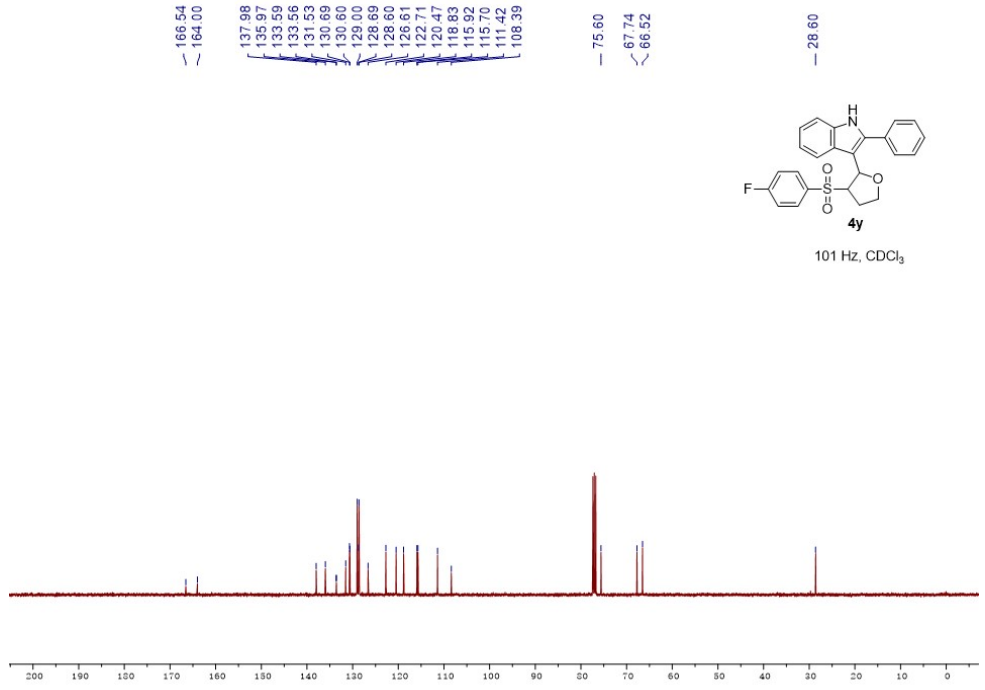
^{1}H NMR spectrum of compound 4x



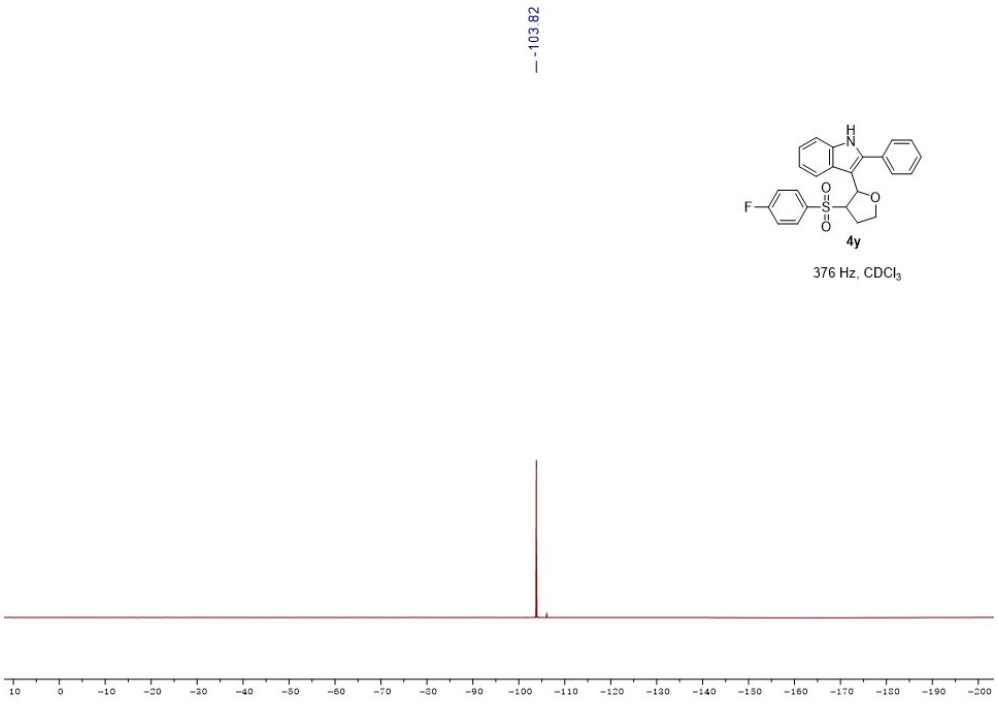
^{13}C NMR spectrum of compound 4x



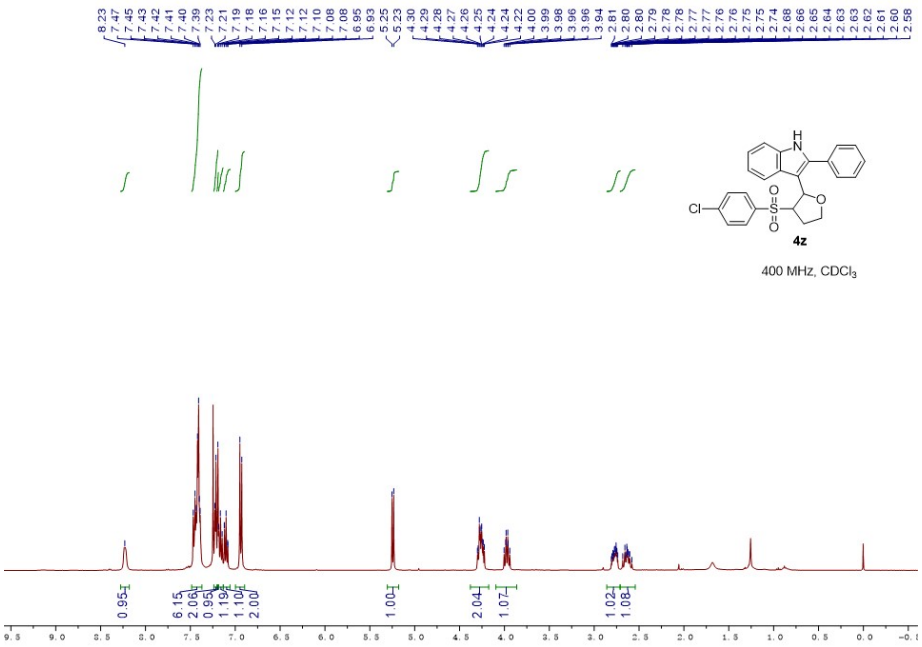
^{1}H NMR spectrum of compound 4y



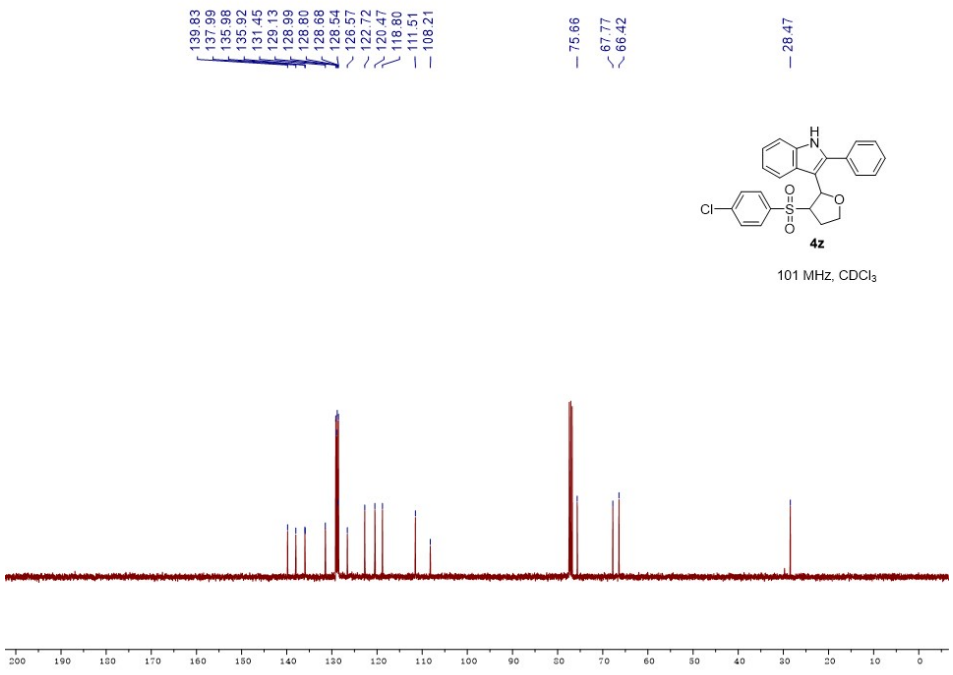
^{13}C NMR spectrum of compound 4y



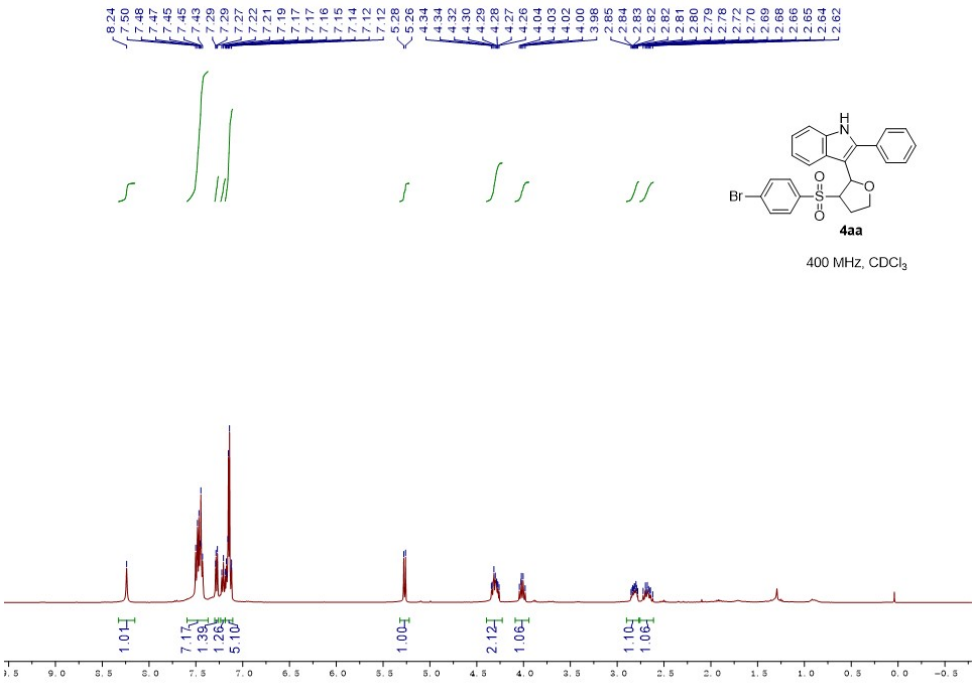
^{19}F NMR spectrum of compound 4y



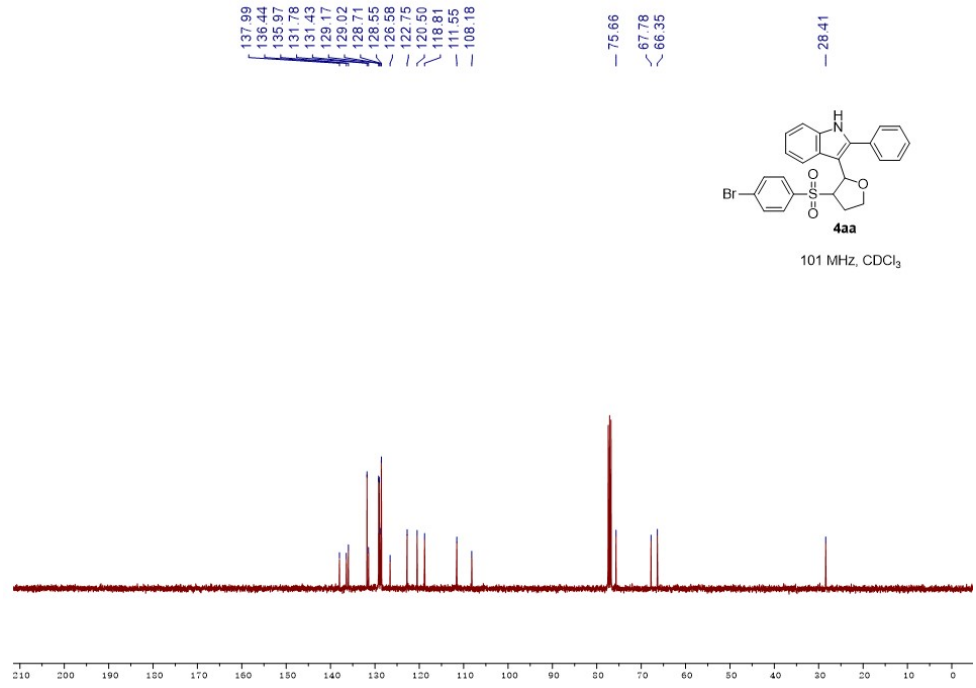
^{1}H NMR spectrum of compound 4z



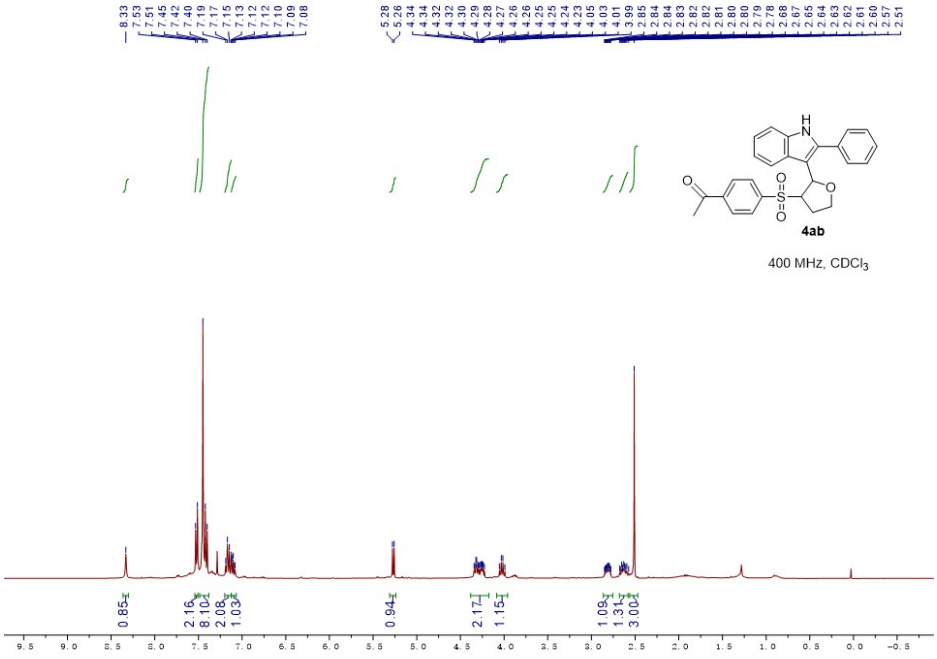
^{13}C NMR spectrum of compound 4z



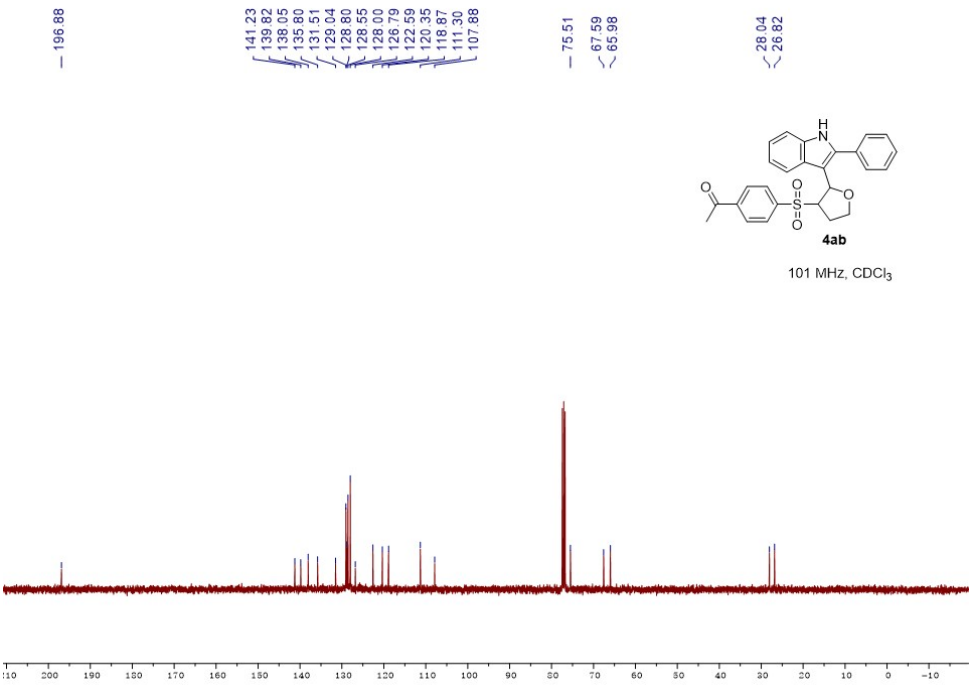
^{1}H NMR spectrum of compound 4aa



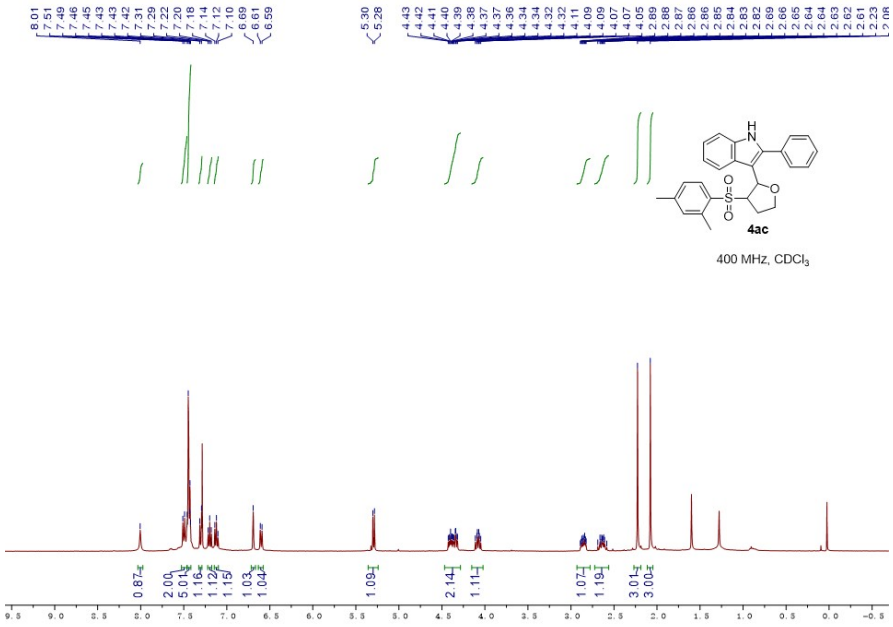
^{13}C NMR spectrum of compound 4aa



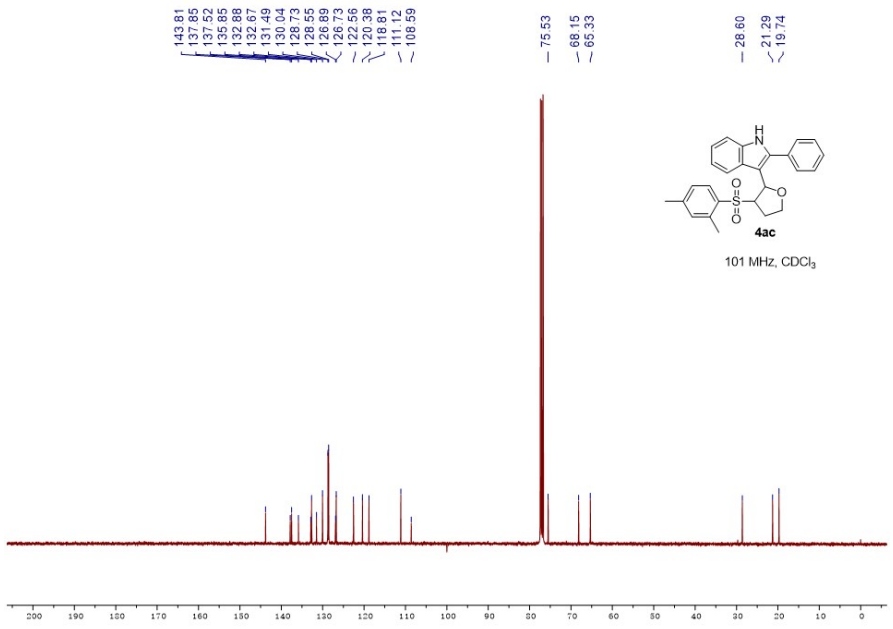
^{1}H NMR spectrum of compound 4ab



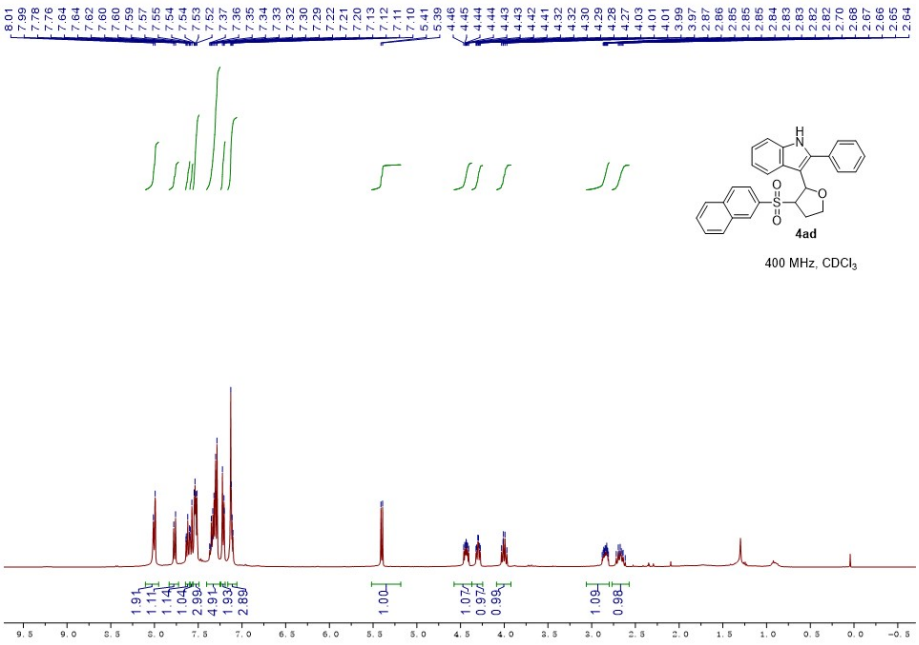
^{13}C NMR spectrum of compound 4ab



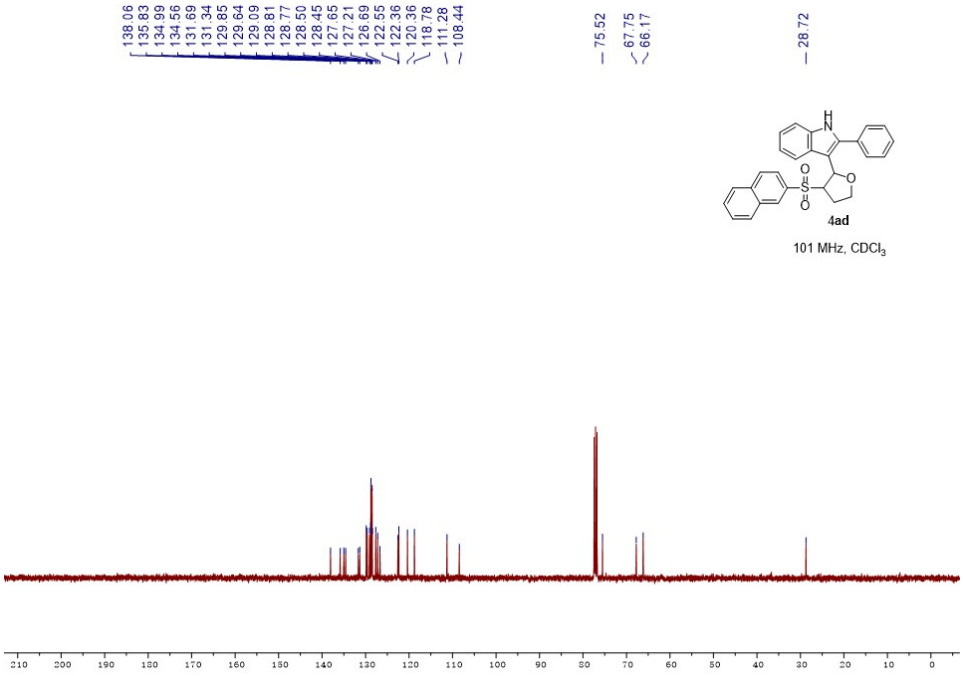
^{1}H NMR spectrum of compound 4ac



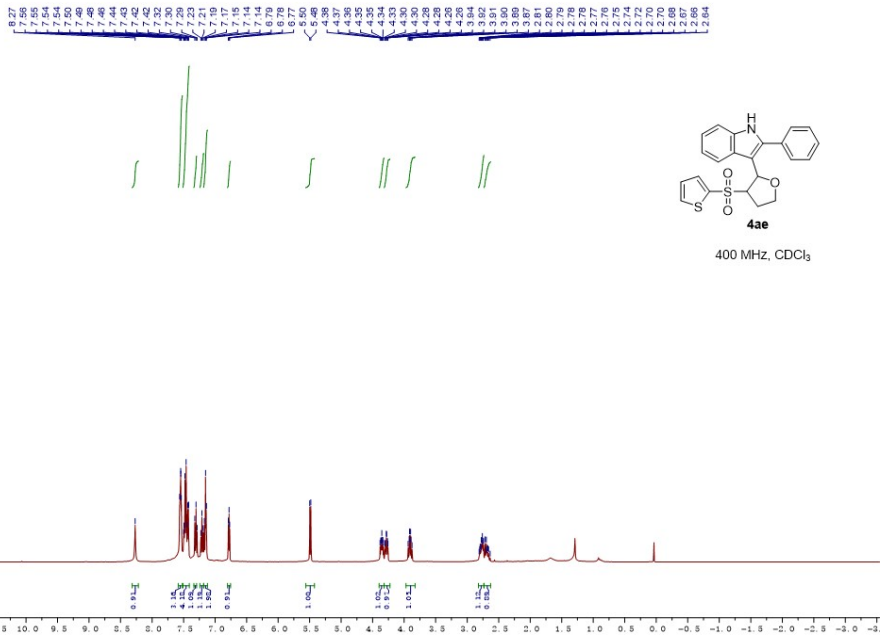
^{13}C NMR spectrum of compound 4ac



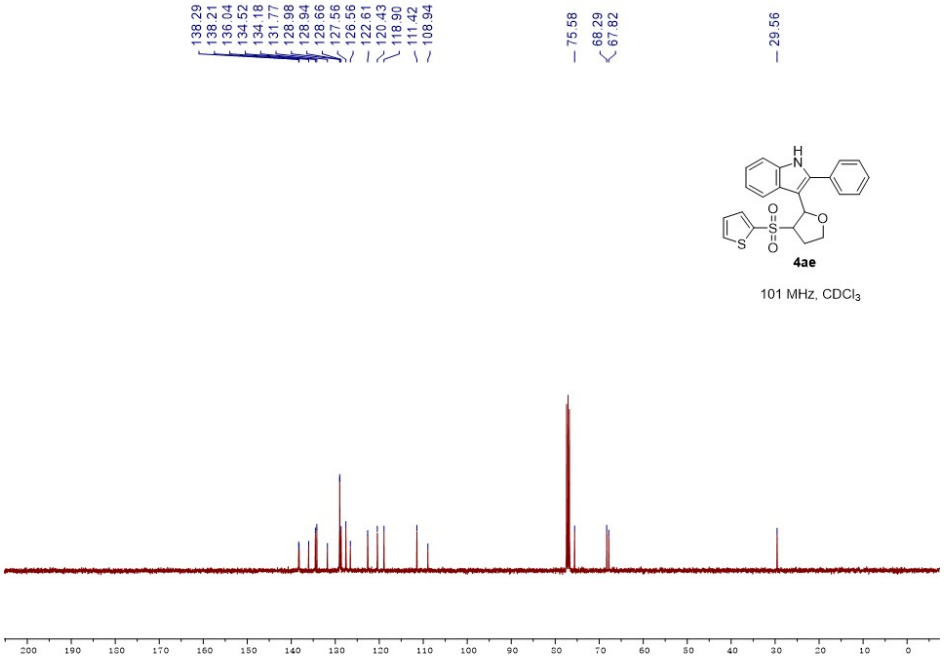
^{1}H NMR spectrum of compound 4ad



^{13}C NMR spectrum of compound 4ad



^{1}H NMR spectrum of compound 4ae



^{13}C NMR spectrum of compound 4ae