Domino Cyclization/Trifluoromethylation of 2-Alkynylanilines Using Fluoroform-Derived $CuCF_3$: Synthesis of 3-(Trifluoromethyl)indoles

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General Experimental. Unless specified otherwise, the trifluoromethylation reactions were carried out open to air in a glass tube with magnetic stirring. Reactions were monitored using thin-layer chromatography (TLC) on EM Science silica gel 60 F254 aluminum plates. Visualization of the developed plates was performed under UV light (254 nm) and/or by immersion in ethanolic phosphomolybdic acid (PMA) or potassium permanganate ($KMnO_4$) followed by heating using a heat gun. Organic solvents were evaporated by rotary evaporation at 23 – 40 °C. Purification of products was done by flash column chromatography with Grace Materials Technologies 230 − 400 mesh silica gel.

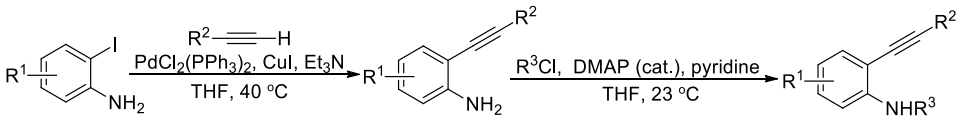
Materials. Fluoroform (Research Grade, Purity: 99.999% min., 9.1kg in 16 L size cylinder) was purchased from SynQuest Laboratories, USA. Copper(I) chloride (extra pure, 99.99%) was purchased from Acros. $Et_3N{\cdot}3HF$ (97%) was purchased from J&K Scientific. Potassium *tert*-butoxide (97%) was purchased from Alfa Aesar. DMF was dried over Solvent Purification System then bubbled with argon for 24 h. Terminal alkynes were purchased from commercial sources or synthesized according to literature procedures[1] by Sonogashira coupling of the corresponding halide and trimethylsilylacetylene, followed by desilylation of the TMS-protected alkynes. Substituted 2-iodoanlines were purchased from commercial sources. The *N*-protected 2-alkynylanilines were synthesized according to literature procedures.[2] Substrates **1a-b**, **1e, 1i, 1p, 1w-x, 3a, SI-1a** and **SI-1c,**[3] **1d**,[4] **1f, 1h, 1s, 3b** and **SI-1g,**[5] **1u-v,**[6] **SI-1b,**[7] **SI-1e,**[8] **SI-1f,**[9] **SI-1h,**[10] **SI-1i**[11] were known compounds and prepared according to literature procedures. Other chemicals were purchased from Acros Organics, J&K Scientific, TCI Chemicals and Aldrich.

Instrumentation. Proton nuclear magnetic resonance spectra (^1H NMR) spectra, carbon nuclear magnetic resonance spectra (^{13}C NMR) and fluorine nuclear magnetic resonance spectra (^{19}F NMR) were recorded at 23 °C on Bruker 400 MHz spectrometer in $CDCl_3$. Chemical shifts of ^1H NMR spectra were

reported as parts per million in δ scale using residual solvent signal of $CDCl_3$ (7.26 ppm) or tetramethylsilane (0.00 ppm) as internal standard. Chemical shifts of ^{13}C NMR spectra were reported using residual solvent signal of $CDCl_3$ (77.16 ppm) on the δ scale. Chemical shifts of ^{19}F NMR are reported as parts per million in δ scale using benzotrifluoride (-63.72 ppm) as internal standard. Data are represented as follows: chemical shift (δ ppm), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, qn = quintet, m = multiplet, br = broad), coupling constant (J, Hz) and integration. High resolution mass spectra (HRMS) were obtained on a Finnigan MAT 95XL GC Mass Spectrometer or a Thermo Scientific Q Exactive Focus Mass Spectrometer.

Experimental Procedures.

General procedure A for the synthesis of *N*-protected 2-alkynylanilines:

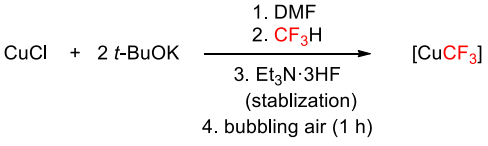


R^3 = Ts, **1a-y**
R^3 = Ms, **3a, 3aa, 3ab**

To a round-bottom flask were added 2-iodoaniline (1.0 mmol), $PdCl_2(PPh_3)_2$ (14.0 mg, 0.02 mmol), CuI (3.8 mg, 0.02 mmol) and dry Et_3N (209 μL, 1.5 mmol). The flask was evacuated and flushed with argon. Anhydrous THF (5 mL) was then added and terminal alkyne (1.5 mmol) was added dropwise under an argon atmosphere. The resulting mixture was stirred at 40 °C overnight until TLC indicated complete consumption of the starting material. Subsequent filtration (through a pad of Celite® and rinsed with diethyl ether), evaporation on a rotary evaporator and purification of the remaining crude material *via* flash chromatography on silica gel using hexane/ethyl acetate as the eluent afforded the corresponding 2-alkynylanilines.

The 2-alkynylaniline (0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol) or methanesulfonyl chloride (183.3 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol) and catalytic amount of 4-dimethylaminopyridine (DMAP, 9.8 mg, 0.08 mmol) were dissolved in THF (5 mL). The mixture was stirred overnight at room temperature (23 °C) and then acidified with aq. HCl (2N). The mixture was extracted with ethyl acetate (three times) and washed with brine. The organic layer was dried over Na_2SO_4 and concentrated by rotary evaporator under reduced pressure. The residue was purified by flash chromatography on silica gel using hexane/ethyl acetate as the eluent to afford the corresponding *N*-Ts 2-alkynylaniline or *N*-Ms 2-alkynylaniline.

General procedure B for the preparation of fluoroform-derived [$CuCF_3$] reagent:[12]



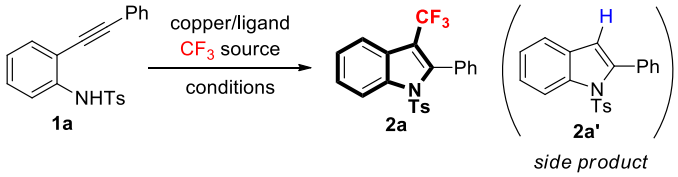
In a glove box, to a glass tube was charged CuCl (200 mg, 2.02 mmol), *t*-BuOK (472 mg, 4.08 mmol) and a magnetic stir bar. The flask was sealed with a septum, brought out of the glove box and put under an argon atmosphere. Anhydrous DMF (4.0 mL) was added *via* syringe and the mixture was stirred at room temperature for 30 min. Then fluoroform was bubbled into the mixture by using a needle connected to the fluoroform cylinder at room temperature for 5 min and in the meantime another needle was used as an outlet. After removing the fluoroform inlet and outlet, the mixture was stirred for 5 min

and $Et_3N{\cdot}3HF$ (172 μL, 1.06 mmol) was added under argon, and the mixture was stirred for another 5 min. A slightly brown solution with some white solid was obtained as the [Cu(I)CF_3] solution in DMF. The yield of [Cu(I)CF_3] was generally >90% as determined by ^{19}F NMR analysis (DMF, unlocked) using benzotrifluoride as the internal standard. After that the septum was removed and air was bubbled through the [Cu(I)CF_3] solution in DMF for 1 h with stirring at room temperature, using a needle connected to an air balloon. The suspension turned blue and then dark brown or black after 1 h to generate the fluoroform-derived [Cu(II)CF_3] reagent in DMF (~0.40 M).

General procedure C for the synthesis of 3-(trifluoromethyl)indoles with fluoroform-derived [$CuCF_3$] reagent:

To a glass tube equipped with a magnetic stir bar was added *N*-Ts 2-alkynylaniline (0.2 mmol) or *N*-Ms 2-alkynylaniline (0.2 mmol) and a solution of [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). For *N*-Ts 2-alkynylaniline, the reaction mixture was stirred in air at room temperature (23 °C) for 15 h. For *N*-Ms 2-alkynylaniline, the reaction mixture was stirred in air at 80 °C for 15 h. The mixture was quenched with water and extracted with diethyl ether for three times. The organic layers were combined, washed with water then brine, dried over anhydrous Na_2SO_4, filtered and concentrated by rotary evaporator. The crude product was purified by flash chromatography on silica gel using hexane/ethyl acetate as the eluent.

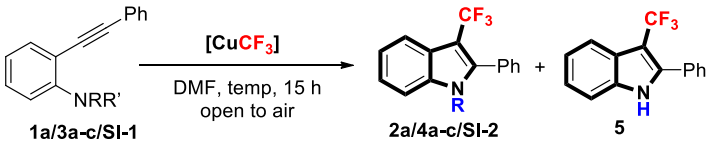
Table S1. Optimization studies for the synthesis of 3-(trifluoromethyl)indole.[a]



entry	copper/ligand (equiv)	CF_3 source (equiv)	additive (equiv)	solvent/ temp. (°C)	yield of **2a/2a'** (%)[b]
1	$(PPh_3)_3CuCF_3$ (1.5)/-	-	-	DMF/23	0/-
2[c]	$(PPh_3)_3CuCF_3$ (1.5)/-	-	-	DMF/23	0/-
3	CuCl (2.0)/-	Togni's reagent (2.0)	-	DMF/23	3/-[j]
4[c,d]	CuI (0.25)/-	Togni's reagent (2.0)	-	DCE/75	1/-[j]
5[c,e]	CuBr (1.0)/-	Togni's reagent (1.2)	-	DMA/80	0/84
6[f]	CuI (1.0)/phen (1.0)	$TMSCF_3$ (5.0)	KF (5.0)	DMF/100	0/88
7	CuI (1.0)/phen (1.0)	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	44/-
8	CuCl (1.0)/phen (1.0)	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	61/28
9	CuCl (1.0)/phen (1.0)	-	-	DMF/23	0/70
10	-	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	0/-[j]
11[c]	CuCl (1.0)/phen (1.0)	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	19/-
12[g]	$Cu(OTf)_2$ (1.0)/-	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	34/54
13	CuCl (1.0)	-	-	DMF/23	0/-[j]
14	CuI (1.0)/-	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	49/-
15[c]	CuI (1.0)/-	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	12/-
16	CuCl (1.0)/-	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	49/-
17	CuBr (1.0)/-	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	31/-
18	$CuCl_2$ (1.0)/-	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	9/-
19	CuF_2 (1.0)/-	$TMSCF_3$ (5.0)	KF (5.0)	DMF/23	0/-
20	$[CuCF_3]$[h] (1.0)/-	-	-	DMF/23	64/-
21	$[CuCF_3]$[h] (1.5)/-	-	-	DMF/23	76/-
22	**$[CuCF_3]$[h] (2.0)/-**	**-**	**-**	**DMF/23**	**83(82)[i]/6**
23	$[CuCF_3]$[h] (3.0)/-	-	-	DMF/23	84/-
24	$[CuCF_3]$[h] (2.0)/-	-	-	DMF/50	81/-
25	$[CuCF_3]$[h] (2.0)/-	-	-	DMF/80	72/-

[a]Unless specified otherwise, reactions were carried out using 0.1 mmol **1a** in 0.5 mL solvent, *open to air* for 15 h. [b]Determined by ^{19}F and ^{1}H NMR analysis using benzotrifluoride and 1,3,5-trimethylbenzene as the internal standards for **2a** and **2a'**, respectively. [c]Reaction was carried out under argon. [d]Conditions were based on ref 13. Togni's reagent = 1-trifluoromethyl-1,2-benziodoxol-3-(1*H*)-one. [e]Conditions were based on ref 11. [f]Conditions were based on ref 14. Phen = 1,10-phenanthroline. [g]Conditions were based on ref 15. [h]The "ligandless" $[CuCF_3]$ (in DMF solution, stabilized by $Et_3N{\cdot}3HF$, bubbled with air) was prepared from CuCl/*t*-BuOK/fluoroform according to general procedure B. [i]Isolated yield. [j]90-95% recovered starting material.

Table S2. Optimization studies: effects of *N*-protecting group and reaction temperature on the synthesis of 3-(trifluoromethyl)indoles.[a]

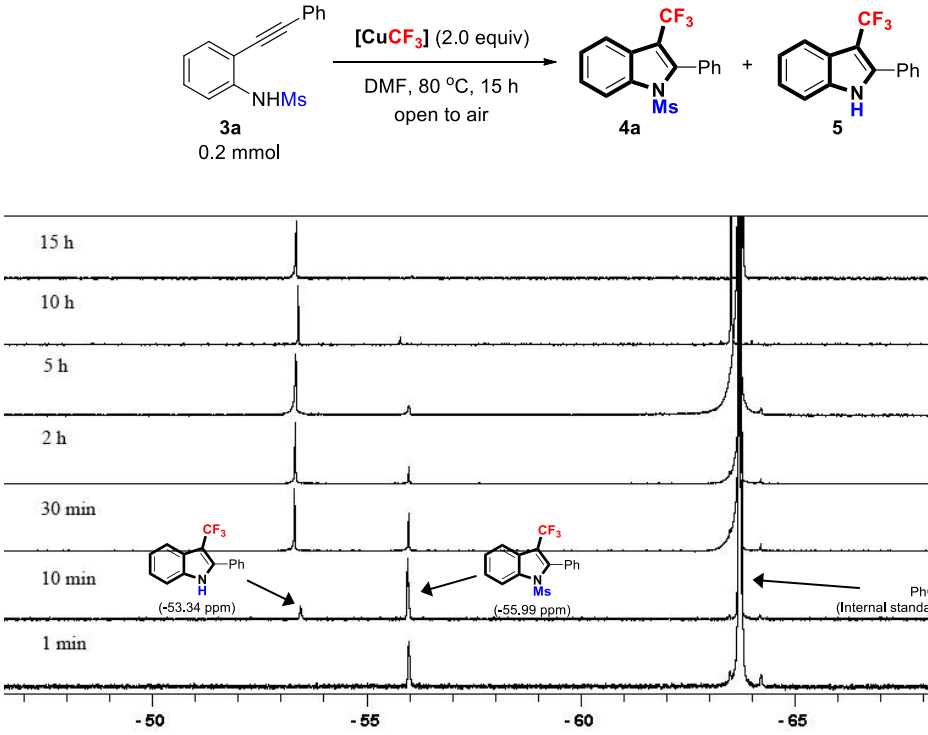


1a/3a-c/SI-1 2a/4a-c/SI-2 5

entry	R, R'	temp (°C)	yield of **2a/4a-c/SI-2** (%)[b]	yield of **5** (%)[b]
1	Ts, H **1a**	23	83(82) **2a**	0
2	Ts, H **1a**	50	81 **2a**	4
3	Ts, H **1a**	80	72 **2a**	13
4	Ms, H **3a**	23	55(54) **4a**	17(18)
5	Ms, H **3a**	80	0 **4a**	64(62)
6[c]	Ms, H **3a**	100	0 **4a**	25
7	Ns, H **3b**	23	60(60) **4b**	20(22)
8	Ns, H **3b**	80	11 **4b**	63
9	Tf, H **3c**	23	50(53) **4c**	5
10	Tf, H **3c**	80	0 **4c**	62(63)
11[d]	C(O)Ph, H **SI-1a**	23	0	0
12[d]	C(O)CF_3, H **SI-1b**	23	0	4
13[d]	C(O)CH_3, H **SI-1c**	23	0	0
14[d]	Cbz, H **SI-1d**	23	0	0
15[d]	Boc, H **SI-1e**	23	0	0
16[d]	Bn, H **SI-1f**	23	0	0
17[d]	H, H **SI-1g**	23	0	0
18[c,d]	H, H **SI-1g**	23	0	0
19[c,d]	H, H **SI-1g**	50	0	0
20[d]	Me, Me **SI-1h**	23	0	0
21[d]	Ts, Me **SI-1i**	23	0	0

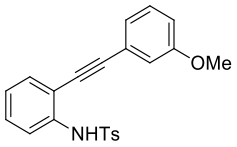
[a]General conditions: [$CuCF_3$] in DMF solution was prepared from CuCl/*t*-BuOK/fluoroform according to general procedure B (2.0 equiv, stabilized by $Et_3N{\cdot}3HF$, bubbled with air), 2-alkynylaniline (0.1-0.2 mmol in DMF, 0.2 M). [b]Yield was determined by ^{19}F NMR analysis using benzotrifluoride as the internal standard. Isolated yields are shown in the parentheses. [c]3.0 equiv [$CuCF_3$]. [d]90-95% recovered starting material.

Figure S1. ^{19}F NMR studies of the cyclization/trifluoromethylation/desulfonylation of substrate 3a over time under standard conditions.[a]

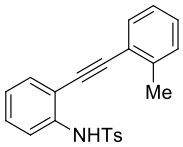


[a]Aliquots of the crude reaction solution were taken for the ^{19}F NMR analysis at various time intervals. $CDCl_3$ was used as the NMR solvent (unlocked) and benzotrifluoride as the internal standard. [$CuCF_3$] was prepared according to general procedure B.

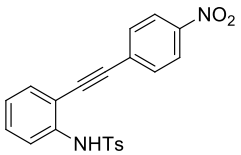
Characterization data of substrates.



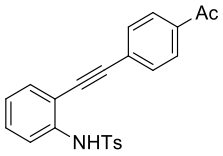
1c: *N*-(2-((3-Methoxyphenyl)ethynyl)phenyl)-4-methylbenzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (178.6 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 4:1) and obtained as a yellow solid (280.8 mg, 93% yield), R_f = 0.28 (hexane:ethyl acetate = 4:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.68 (d, J = 8.2 Hz, 2H), 7.63 (d, J = 8.2 Hz, 1H), 7.37 (d, J = 7.6 Hz, 1H), 7.29 (t, J = 7.9 Hz, 2H), 7.24 (s, 1H), 7.17 (d, J = 8.1 Hz, 2H), 7.08 – 7.04 (m, 2H), 6.99 – 6.94 (m, 2H), 3.84 (s, 3H), 2.33 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.5, 144.1, 137.6, 136.1, 132.1, 129.7, 129.7, 129.7, 127.3, 124.7, 124.2, 123.0, 120.5, 116.6, 115.5, 114.7, 96.1, 83.6, 55.4, 21.6 ppm. **HRMS** *m/z* (EI) calcd. for $C_{22}H_{19}NO_3S$ $[M]^+$: 377.1080; found: 377.1084.



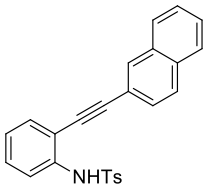
1g: 4-Methyl-*N*-(2-(*o*-tolylethynyl)phenyl)benzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (165.8 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 6:1) and obtained as a yellow solid (255.5 mg, 88% yield), R_f = 0.42 (hexane:ethyl acetate = 4:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.70 (d, J = 8.2 Hz, 2H), 7.66 (d, J = 8.3 Hz, 1H), 7.46 (d, J = 7.5 Hz, 1H), 7.40 (td, J = 7.7, 1.4 Hz, 1H), 7.33 – 7.28 (m, 4H), 7.22 (td, J = 7.2, 1.5 Hz, 1H), 7.17 (d, J = 8.1 Hz, 2H), 7.07 (td, J = 7.6, 1.0 Hz, 1H), 2.50 (s, 3H), 2.33 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 144.1, 140.0, 137.5, 136.1, 132.0, 132.0, 129.8, 129.7, 129.6, 129.2, 127.3, 125.9, 124.4, 121.9, 120.0, 114.5, 95.4, 87.6, 21.6, 21.0 ppm. **HRMS** *m/z* (EI) calcd. for $C_{22}H_{19}NO_2S$ $[M]^+$: 361.1131; found: 361.1134.



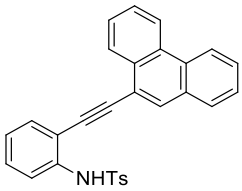
1j: 4-Methyl-*N*-(2-((4-nitrophenyl)ethynyl)phenyl)benzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (190.6 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 3:1) and obtained as a yellow solid (106.7 mg, 34% yield), R_f = 0.22 (hexane:ethyl acetate = 4:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.23 (d, J = 8.4 Hz, 2H), 7.68 (d, J = 8.0 Hz, 2H), 7.61 (d, J = 8.6 Hz, 3H), 7.41 (d, J = 7.6 Hz, 1H), 7.35 (t, J = 7.8 Hz, 1H), 7.24 (s, 1H), 7.18 (d, J = 7.9 Hz, 2H), 7.11 (t, J = 7.5 Hz, 1H), 2.34 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 147.5, 144.4, 137.9, 136.2, 132.6, 132.4, 130.8, 129.8, 129.0, 127.3, 124.9, 123.9, 120.9, 113.8, 93.9, 89.0, 21.7 ppm. **HRMS** *m/z* (ESI) calcd. for $C_{21}H_{16}N_2O_4S$ $[M+Na]^+$: 415.07230; found: 415.07251.



1k: *N*-(2-((4-Acetylphenyl)ethynyl)phenyl)-4-methylbenzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (188.2 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 3:1) and obtained as a yellow solid (240.6 mg, 77% yield), R_f = 0.13 (hexane:ethyl acetate = 4:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.95 (d, J = 8.0 Hz, 2H), 7.66 (d, J = 8.0 Hz, 2H), 7.61 (d, J = 8.2 Hz, 1H), 7.53 (d, J = 8.1 Hz, 2H), 7.38 (d, J = 7.6 Hz, 1H), 7.32 (t, J = 7.8 Hz, 1H), 7.23 (s, 1H), 7.16 (d, J = 7.9 Hz, 2H), 7.08 (t, J = 7.5 Hz, 1H), 2.62 (s, 3H), 2.32 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 197.3, 144.2, 137.7, 136.8, 136.1, 132.3, 131.8, 130.3, 129.7, 128.5, 127.3, 126.9, 124.8, 120.8, 114.3, 95.1, 87.0, 26.8, 21.6 ppm. **HRMS** *m/z* (EI) calcd. for $C_{23}H_{19}NO_3S$ $[M]^+$: 389.1080; found: 389.1082.

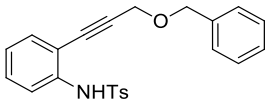


1l: 4-Methyl-*N*-(2-(naphthalen-2-ylethynyl)phenyl)benzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (194.6 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 4:1) and obtained as a yellow solid (289.0 mg, 91% yield), R_f = 0.30 (hexane:ethyl acetate = 4:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.00 (s, 1H), 7.87 – 7.84 (m, 3H), 7.72 (d, J = 8.2 Hz, 2H), 7.67 (d, J = 8.3 Hz, 1H), 7.56 – 7.53 (m, 2H), 7.51 (dd, J = 8.4, 1.2 Hz, 1H), 7.43 (dd, J = 7.7, 1.2 Hz, 1H), 7.34 – 7.30 (m, 2H), 7.16 (d, J = 8.2 Hz, 2H), 7.08 (td, J = 11.4, 0.9 Hz, 1H), 2.31 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 144.1, 137.6, 136.2, 133.1, 133.0, 132.2, 131.8, 129.7, 129.7, 128.4, 128.0, 127.9, 127.9, 127.3, 127.2, 127.0, 124.8, 120.7, 119.3, 114.9, 96.6, 84.1, 21.6 ppm. **HRMS** *m/z* (EI) calcd. for $C_{25}H_{19}NO_2S$ $[M]^+$: 397.1131; found: 397.1136.

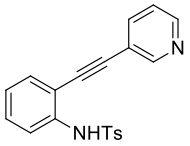


1m: 4-Methyl-*N*-(2-(phenanthren-9-ylethynyl)phenyl)benzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (234.7 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 4:1) and obtained as a yellow solid (346.5 mg, 97% yield), R_f = 0.30 (hexane:ethyl acetate = 4:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.69 – 8.62 (m, 2H), 8.33 – 8.30 (m, 1H), 8.03 (s, 1H), 7.89 (d, J = 7.6 Hz, 1H), 7.76 – 7.62 (m, 7H), 7.55 – 7.48 (m, 2H), 7.36 (t, J = 7.8 Hz, 1H), 7.14 (t, J = 7.6 Hz, 1H), 7.09 (d, J = 8.0 Hz, 2H), 2.23 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 144.0, 137.6,

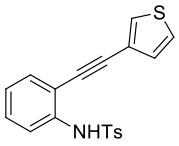
136.1, 132.5, 132.3, 130.9, 130.5, 130.5, 130.1, 129.8, 129.6, 128.7, 128.0, 127.3, 127.3, 127.3, 127.2, 126.5, 124.8, 123.0, 122.7, 120.7, 118.4, 114.9, 94.5, 88.2, 21.5 ppm. **HRMS** *m/z* (EI) calcd. for $C_{29}H_{21}NO_2S$ $[M]^+$: 447.1288; found: 447.1281.



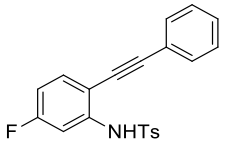
1o: *N*-(2-(3-(Benzyloxy)prop-1-yn-1-yl)phenyl)-4-methylbenzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (189.8 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 4:1) and obtained as a yellow solid (176.4 mg, 56% yield), R_f = 0.22 (hexane:ethyl acetate = 4:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.67 (d, J = 8.2 Hz, 2H), 7.59 (d, J = 8.2 Hz, 1H), 7.40 – 7.39 (m, 4H), 7.37 – 7.26 (m, 3H), 7.21 – 7.15 (m, 3H), 7.03 (t, J = 7.6 Hz, 1H), 4.62 (s, 2H), 4.38 (s, 2H), 2.33 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 144.2, 138.0, 137.2, 136.1, 132.5, 130.0, 129.7, 128.7, 128.2, 127.4, 124.4, 119.9, 119.8, 113.7, 92.4, 81.2, 72.1, 57.9, 21.6 ppm. **HRMS** *m/z* (EI) calcd. for $C_{23}H_{21}NO_3S$ $[M]^+$: 391.1237; found: 391.1235.



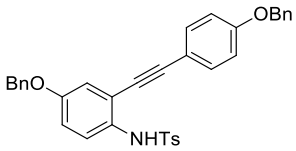
1q: 4-Methyl-*N*-(2-(pyridin-3-ylethynyl)phenyl)benzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (155.4 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 2:1) and obtained as a white solid (200.6 mg, 72% yield), R_f = 0.16 (hexane:ethyl acetate = 2:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.61 (br, 2H), 7.70 (d, J = 7.8 Hz, 1H), 7.65 – 7.60 (m, 4H), 7.37 (d, J = 7.6 Hz, 1H), 7.33 – 7.26 (m, 2H), 7.14 (d, J = 8.0 Hz, 2H), 7.08 (t, J = 7.5 Hz, 1H), 2.30 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 152.0, 149.1, 144.1, 138.5, 137.7, 136.3, 132.4, 130.2, 129.7, 127.2, 124.9, 123.3, 121.5, 119.5, 114.6, 92.1, 87.4, 21.5 ppm. **HRMS** *m/z* (EI) calcd. for $C_{20}H_{16}N_2O_2S$ $[M]^+$: 348.0927; found: 348.0929.



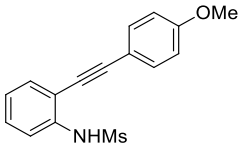
1r: 4-Methyl-*N*-(2-(thiophen-3-ylethynyl)phenyl)benzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (159.4 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 6:1) and obtained as a white solid (245.0 mg, 86% yield), R_f = 0.27 (hexane:ethyl acetate = 6:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.68 (d, J = 8.3 Hz, 2H), 7.62 (d, J = 8.2 Hz, 1H), 7.52 (dd, J = 3.0, 1.1 Hz, 1H), 7.36 – 7.32 (m, 2H), 7.30 – 7.25 (m, 2H), 7.17 – 7.14 (m, 3H), 7.05 (td, J = 7.6, 0.9 Hz, 1H), 2.32 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 144.1, 137.5, 136.1, 132.0, 129.7, 129.6, 129.6, 127.3, 125.9, 124.7, 121.1, 120.5 (2C), 114.7, 91.3, 83.4, 21.6 ppm. **HRMS** *m/z* (EI) calcd. for $C_{19}H_{15}NO_2S_2$ $[M]^+$: 353.0539; found: 353.0536.



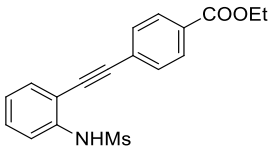
1t: *N*-(5-Fluoro-2-(phenylethynyl)phenyl)-4-methylbenzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (169.0 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 6:1) and obtained as a white solid (231.1 mg, 79% yield), R_f = 0.23 (hexane:ethyl acetate = 6:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.74 (d, J = 8.2 Hz, 2H), 7.50 – 7.48 (m, 2H), 7.42 – 7.32 (m, 6H), 7.20 – 7.18 (m, 2H), 6.75 (t, J = 8.3 Hz, 1H), 2.32 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 162.7 (d, J_{CF} = 250.2 Hz), 144.4, 139.2 (d, J_{CF} = 11.6 Hz), 135.8, 133.4 (d, J_{CF} = 9.5 Hz), 131.5, 129.7, 129.1, 128.5, 127.2, 121.8, 111.7 (d, J_{CF} = 22.3 Hz), 110.1, 107.4 (d, J_{CF} = 26.9 Hz), 96.0, 82.7, 21.4 ppm. **HRMS** *m/z* (EI) calcd. for $C_{21}H_{16}FNO_2S$ $[M]^+$: 365.0880; found: 365.0881.



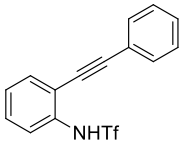
1y: *N*-(4-(Benzyloxy)-2-((4-(benzyloxy)phenyl)ethynyl)phenyl)-4-methylbenzenesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (324.4 mg, 0.8 mmol), *p*-toluenesulfonyl chloride (305.0 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 6:1) and obtained as a yellow solid (386.4 mg, 86% yield), R_f = 0.44 (hexane:ethyl acetate = 3:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.63 – 7.57 (m, 3H), 7.48 – 7.35 (m, 12H), 7.13 (d, J = 8.0 Hz, 2H), 6.99 (d, J = 8.7 Hz, 2H), 6.96 – 6.94 (m, 3H), 5.12 (s, 2H), 5.00 (s, 2H), 2.33 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.4, 156.1, 143.8, 136.5, 136.4, 136.1, 133.2, 130.1, 129.6, 128.8, 128.7, 128.3, 128.2, 127.6, 127.6, 127.3, 124.0, 117.6, 117.1, 116.6, 115.1, 114.3, 95.7, 82.9, 70.4, 70.2, 21.6 ppm. **HRMS** *m/z* (APCI) calcd. for $C_{35}H_{29}NO_4S$ $[M+H]^+$: 560.18901; found: 560.18924.



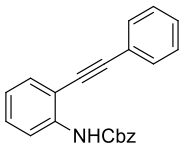
3aa: *N*-(2-((4-Methoxyphenyl)ethynyl)phenyl)methanesulfonamide. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (178.6 mg, 0.8 mmol), methanesulfonyl chloride (183.3 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 5:1) and obtained as a yellow solid (193.4 mg, 80% yield), R_f = 0.13 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.59 (d, J = 8.2 Hz, 1H), 7.51 (dd, J = 7.7, 1.1 Hz, 1H), 7.47 (d, J = 8.8 Hz, 2H), 7.33 (td, J = 7.8, 1.3 Hz, 1H), 7.17 – 7.13 (m, 2H), 6.89 (d, J = 8.8 Hz, 2H), 3.81 (s, 3H), 3.02 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.3, 137.4, 133.2, 132.2, 129.6, 124.9, 120.1, 115.0, 114.2, 113.8, 96.8, 82.5, 55.3, 39.6 ppm. **HRMS** *m/z* (APCI) calcd. for $C_{16}H_{15}NO_3S$ $[M+H]^+$: 302.08454; found: 302.08452.



3ab: Ethyl 4-((2-(methylsulfonamido)phenyl)ethynyl)benzoate. Prepared according to the general procedure A. Reaction was run using corresponding 2-alkynylaniline (212.2 mg, 0.8 mmol), methanesulfonyl chloride (183.3 mg, 1.6 mmol), pyridine (126.7 mg, 1.6 mmol), DMAP (9.8 mg, 0.08 mmol) and THF (5 mL). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 5:1) and obtained as a yellow solid (236.0 mg, 86% yield), R_f = 0.14 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.03 (d, J = 7.8 Hz, 2H), 7.16 – 7.54 (m, 4H), 7.38 (t, J = 7.5 Hz, 1H), 7.16 (t, J = 7.5 Hz, 1H), 7.12 (s, 1H), 4.38 (q, J = 6.9 Hz, 2H), 3.05 (s, 3H), 1.39 (t, J = 6.9 Hz, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 165.9, 137.8, 132.7, 131.6, 130.7, 130.5, 129.7, 126.4, 124.9, 120.0, 114.0, 95.8, 86.5, 61.4, 39.9, 14.4 ppm. **HRMS** *m/z* (APCI) calcd. for $C_{18}H_{17}NO_4S$ $[M+H]^+$: 344.09511; found: 344.09511.



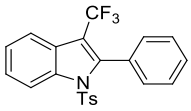
3c: 1,1,1-Trifluoro-*N*-(2-(phenylethynyl)phenyl)methanesulfonamide. Prepared according to the literature procedure.[16] 2-(Phenylethynyl)aniline (96.6 mg, 0.5 mmol) was dissolved in CH_2Cl_2 (1 mL), and the reaction mixture was cooled to –78 °C. Triethylamine (70 μL, 0.5 mmol) was added and the reaction mixture was stirred at –78 °C for 5 min. Trifluoromethanesulfonic anhydride (89 μL, 0.53 mmol) was then added dropwise and the reaction mixture was stirred at –78 °C for 2 h, before quenching with ice. The organic layer was separated, and the aqueous layer was extracted with CH_2Cl_2. The organic layer was dried over Na_2SO_4 and concentrated by rotary evaporator under reduced pressure. The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a yellow solid (118.1 mg, 73% yield), R_f = 0.20 (hexane:ethyl acetate = 9:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 7.61 (d, J = 8.2 Hz, 1H), 7.59 – 7.54 (m, 3H), 7.42 – 7.37 (m, 5H), 7.27 (td, J = 7.6, 0.8 Hz, 1H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 135.0, 132.5, 131.8, 129.8, 129.4, 128.7, 126.7, 121.8 (2C), 119.9 (q, J_{CF} = 322.9 Hz), 116.6, 97.4, 83.1 ppm. **HRMS** *m/z* (EI) calcd. for $C_{15}H_{10}F_3NO_2S$ $[M]^+$: 325.0379; found: 325.0379.



SI-1d: Benzyl (2-(phenylethynyl)phenyl)carbamate. Prepared according to the literature procedure.[17] To a solution of 2-(phenylethynyl)aniline (96.6 mg, 0.5 mmol) and $NaHCO_3$ (84.0 mg, 1.0 mmol) in THF (5 mL) was slowly added benzyl chloroformate (86 μL, 0.6 mmol). After being stirred for 2 h at 0 °C, the reaction mixture was stirred at room temperature for overnight. Water was added to the mixture, and the mixture was extracted with ethyl acetate. The organic layer was washed with HCl (2N), brine, dried over Na_2SO_4 and concentrated by rotary evaporator under reduced pressure. The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (147.0 mg, 90% yield), R_f = 0.43 (hexane:ethyl acetate = 10:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.20 (d, J = 8.1 Hz, 1H), 7.55 – 7.53 (m, 3H), 7.48 (d, J = 7.6 Hz, 1H), 7.45 – 7.43 (m, 2H), 7.14 – 7.33 (m, 7H),

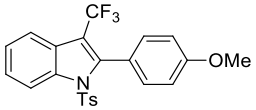
7.04 (t, J = 7.6 Hz, 1H), 5.25 (s, 2H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 153.1, 139.0, 136.2, 131.9, 131.7, 129.8, 128.9, 128.7, 128.6, 128.5, 128.4, 122.8, 122.5, 118.0, 111.7, 96.4, 84.3, 67.2 ppm. **HRMS** *m/z* (ESI) calcd. for $C_{22}H_{17}NO_2$ $[M+Na]^+$: 350.11515; found: 350.11530.

Characterization data of products.

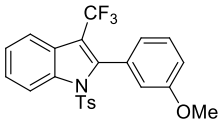


2a: 2-Phenyl-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1a** (69.5 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (68.2 mg, 82% yield), R_f = 0.53 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.41 (d, J = 8.6 Hz, 1H), 7.73 (d, J = 8.0 Hz, 1H), 7.51 – 7.45 (m, 2H), 7.40 – 7.35 (m, 5H), 7.25 (d, J = 7.1 Hz, 2H), 7.13 (d, J = 8.2 Hz, 2H), 2.35 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.6, 140.5 (q, J_{CF} = 4.1 Hz), 136.2, 135.7, 131.4, 129.8, 129.8, 128.9, 127.3, 127.2, 126.0, 125.4, 124.7, 123.3 (q, J_{CF} = 268.9 Hz), 120.2, 115.6, 112.7 (q, J_{CF} = 34.8 Hz), 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.86 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{22}H_{16}F_3NO_2S$ $[M]^+$: 415.0848; found: 415.0846.

1 mmol scale preparation of 2a: To a round-bottom flask equipped with a magnetic stir bar was added **1a** (347.4 mg, 1.0 mmol) and a solution of [$CuCF_3$] in DMF (5.0 mL, 2.0 mmol). The reaction mixture was stirred in air at room temperature (23 °C) for 15 h. The mixture was quenched with water and extracted with diethyl ether for three times. The organic layers were combined, washed with water, brine, dried over anhydrous Na_2SO_4, filtered and concentrated by rotary evaporator. The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (354.8 mg, 85% yield), R_f = 0.53 (hexane:ethyl acetate = 5:1).

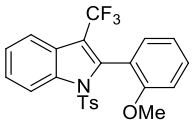


2b: 2-(4-Methoxyphenyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1b** (75.5 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (83.8 mg, 94% yield), R_f = 0.46 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.42 (d, J = 8.5 Hz, 1H), 7.73 (d, J = 7.9 Hz, 1H), 7.47 (td, J = 7.9, 1.2 Hz, 1H), 7.40 – 7.34 (m, 3H), 7.18 – 7.13 (m, 4H), 6.91 (d, J = 8.7 Hz, 2H), 3.89 (s, 3H), 2.36 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.8, 145.5, 140.6 (q, J_{CF} = 4.1 Hz), 136.2, 135.8, 132.8, 129.7, 127.1, 125.9, 125.5, 124.6, 123.4 (q, J_{CF} = 269.3 Hz), 120.8, 120.1, 115.6, 112.8, 112.6 (q, J_{CF} = 34.5 Hz), 55.4, 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.83 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{23}H_{18}F_3NO_3S$ $[M]^+$: 445.0954; found: 445.0949.

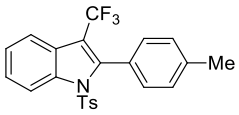


2c: 2-(3-Methoxyphenyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1c** (75.5 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (80.2 mg, 90% yield), R_f = 0.43 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.42 (d, J = 8.6 Hz, 1H), 7.75 (d, J = 8.0 Hz, 1H), 7.48 (t, J = 7.8 Hz, 1H), 7.42 – 7.38 (m, 3H), 7.31 (t, J = 8.0 Hz, 1H), 7.16 (d, J = 8.2 Hz, 2H), 7.04 (dd, J = 8.3, 2.5 Hz, 1H), 6.86 (d, J = 7.5 Hz, 1H), 6.75 (s, 1H), 3.79 (s, 3H), 2.37 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.5, 145.6, 140.2 (q, J_{CF} = 4.1 Hz), 136.2, 135.7, 130.0, 129.8, 128.4, 127.3, 126.0, 125.4, 124.7, 124.0, 123.3 (q,

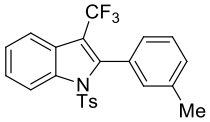
J_{CF} = 269.9 Hz), 120.2, 116.9, 115.7, 115.6, 112.5 (q, J_{CF} = 34.8 Hz), 55.3, 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.96 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{23}H_{18}F_3NO_3S$ $[M]^+$: 445.0954; found: 445.0951.



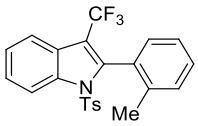
2d: 2-(2-Methoxyphenyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1d** (75.5 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (83.0 mg, 93% yield), R_f = 0.41 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.34 (d, J = 8.5 Hz, 1H), 7.74 (d, J = 8.0 Hz, 1H), 7.50 – 7.42 (m, 4H), 7.37 (td, J = 7.6, 1.0 Hz, 1H), 7.16 – 7.11 (m, 3H), 7.00 (td, J = 7.5, 0.8 Hz, 1H), 6.90 (d, J = 8.3 Hz, 1H), 3.63 (s, 3H), 2.36 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 158.6, 145.2, 137.2 (q, J_{CF} = 4.3 Hz), 136.2, 136.0, 132.7, 131.7, 129.6, 127.3, 125.6 (2C), 124.2, 123.4 (q, J_{CF} = 269.3 Hz), 120.1, 119.5, 118.3, 115.2, 112.3 (q, J_{CF} = 34.7 Hz), 110.4, 55.4, 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.96 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{23}H_{18}F_3NO_3S$ $[M]^+$: 445.0954; found: 445.0963.



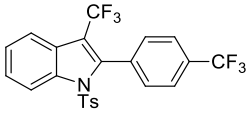
2e: 2-(*p*-Tolyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1e** (72.3 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a yellow solid (78.1 mg, 91% yield), R_f = 0.53 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.45 (d, J = 8.5 Hz, 1H), 7.77 (d, J = 7.8 Hz, 1H), 7.49 (t, J = 7.8 Hz, 1H), 7.43 – 7.38 (m, 3H), 7.25 – 7.15 (m, 6H), 2.48 (s, 3H), 2.37 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.5, 140.8 (q, J_{CF} = 4.1 Hz), 139.9, 136.2, 135.7, 131.2, 129.7, 128.1, 127.1, 125.9, 125.9, 125.5, 124.6, 123.3 (q, J_{CF} = 269.5 Hz), 120.1, 115.6, 112.6 (q, J_{CF} = 34.6 Hz), 21.7, 21.6 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.84 (s, 3F) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{23}H_{18}F_3NO_2S$ $[M+Na]^+$: 452.09026; found: 452.09022.



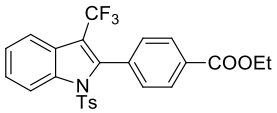
2f: 2-(*m*-Tolyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1f** (72.3 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (70.1 mg, 82% yield), R_f = 0.54 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.43 (d, J = 8.5 Hz, 1H), 7.75 (d, J = 8.0 Hz, 1H), 7.48 (td, J = 7.9, 1.2 Hz, 1H), 7.41 – 7.35 (m, 3H), 7.30 – 7.29 (m, 2H), 7.15 (d, J = 8.1 Hz, 2H), 7.10 – 7.08 (m, 1H), 6.94 (s, 1H), 2.37 (s, 3H), 2.35 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.5, 140.7 (q, J_{CF} = 3.7 Hz), 136.8, 136.3, 135.9, 132.0, 130.5, 129.7, 128.7, 128.6, 127.3, 127.2, 125.9, 125.4, 124.6, 123.3 (q, J_{CF} = 269.8 Hz), 120.2, 115.6, 112.4 (q, J_{CF} = 34.9 Hz), 21.7, 21.4 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.90 (s, 3F) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{23}H_{18}F_3NO_2S$ $[M+Na]^+$: 452.09026; found: 452.09015.



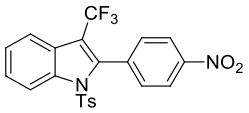
2g: 2-(*o*-Tolyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1g** (72.3 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (77.4 mg, 90% yield), R_f = 0.54 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.47 (d, J = 8.5 Hz, 1H), 7.79 (d, J = 8.0 Hz, 1H), 7.51 (td, J = 7.9, 1.2 Hz, 1H), 7.46 – 7.40 (m, 4H), 7.28 (d, J = 7.7 Hz, 1H), 7.20 – 7.18 (m, 3H), 6.95 (d, J = 7.5 Hz, 1H), 2.39 (s, 3H), 2.08 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.7, 139.7, 139.6 (q, J_{CF} = 4.1 Hz), 136.1, 135.9, 131.1, 130.1, 129.8, 129.6, 128.7, 127.4, 125.9, 125.3, 124.6, 124.5, 123.3 (q, J_{CF} = 269.5 Hz), 120.1, 115.3, 112.0 (q, J_{CF} = 34.7 Hz), 21.7, 20.1 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -57.15 (s, 3F) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{23}H_{18}F_3NO_2S$ $[M+Na]^+$: 452.09026; found: 452.09046.



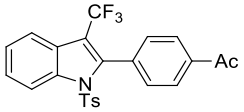
2h: 1-Tosyl-3-(trifluoromethyl)-2-(4-(trifluoromethyl)phenyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1h** (83.1 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (77.3 mg, 80% yield), R_f = 0.57 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.41 (d, J = 8.6 Hz, 1H), 7.76 (d, J = 8.0 Hz, 1H), 7.66 (d, J = 8.2 Hz, 2H), 7.51 (td, J = 7.9, 1.0 Hz, 1H), 7.43 – 7.36 (m, 5H), 7.17 (d, J = 8.2 Hz, 2H), 2.38 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 146.0, 138.4 (q, J_{CF} = 4.2 Hz), 136.3, 135.5, 132.9, 131.8, 131.8 (q, J_{CF} = 32.7 Hz), 130.0, 127.1, 126.5, 125.3, 125.0, 124.4 (q, J_{CF} = 3.7 Hz), 124.0 (q, J_{CF} = 272.4 Hz), 123.1 (q, J_{CF} = 270.0 Hz), 120.4, 115.6, 113.4 (q, J_{CF} = 34.9 Hz), 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.80 (s, 3F), -63.75 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{23}H_{15}F_6NO_2S$ $[M]^+$: 483.0722; found: 483.0728.



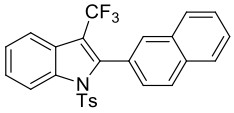
2i: Ethyl 4-(1-tosyl-3-(trifluoromethyl)-1*H*-indol-2-yl)benzoate. Prepared according to the general procedure C. Reaction was run using **1i** (83.9 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (74.1 mg, 76% yield), R_f = 0.50 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.41 (d, J = 8.5 Hz, 1H), 8.10 (d, J = 8.0 Hz, 2H), 7.74 (d, J = 7.9 Hz, 1H), 7.50 (t, J = 7.9 Hz, 1H), 7.42 – 7.36 (m, 5H), 7.17 (d, J = 8.2 Hz, 2H), 4.44 (q, J = 7.1 Hz, 2H), 2.37 (s, 3H), 1.45 (t, J = 7.1 Hz, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 166.2, 145.9, 139.1 (q, J_{CF} = 4.2 Hz), 136.2, 135.5, 133.6, 131.7, 131.4, 129.9, 128.5, 127.1, 126.3, 125.4, 124.9, 123.1 (q, J_{CF} = 269.5 Hz), 120.3, 115.5, 113.1 (q, J_{CF} = 35.0 Hz), 61.4, 21.7, 14.5 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.80 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{25}H_{20}F_3NO_4S$ $[M]^+$: 487.1060; found: 487.1064.



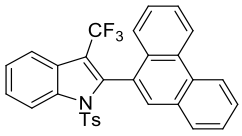
2j: 2-(4-Nitrophenyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1j** (78.5 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (70.7 mg, 77% yield), R_f = 0.46 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.39 (d, J = 8.5 Hz, 1H), 8.28 (d, J = 8.6 Hz, 2H), 7.75 (d, J = 7.9 Hz, 1H), 7.53 (t, J = 8.0 Hz, 1H), 7.48 (d, J = 8.6 Hz, 2H), 7.44 – 7.38 (m, 3H), 7.20 (d, J = 8.2 Hz, 2H), 2.39 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 148.7, 146.3, 137.4 (q, J_{CF} = 4.0 Hz), 136.3, 135.9, 135.3, 132.4, 130.1, 127.0, 126.8, 125.3, 125.2, 122.9 (q, J_{CF} = 269.8 Hz), 122.6, 120.5, 115.6, 113.8 (q, J_{CF} = 35.1 Hz), 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.73 (s, 3F) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{22}H_{15}F_3N_2O_4S$ $[M+Na]^+$: 483.05968; found: 483.06001.



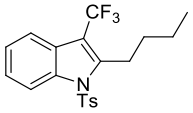
2k: 1-(4-(1-Tosyl-3-(trifluoromethyl)-1*H*-indol-2-yl)phenyl)ethanone. Prepared according to the general procedure C. Reaction was run using **1k** (77.9 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 6:1) and obtained as a yellow solid (71.1 mg, 78% yield), R_f = 0.34 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.39 (d, J = 8.5 Hz, 1H), 8.00 (d, J = 7.2 Hz, 2H), 7.74 (d, J = 7.9 Hz, 1H), 7.50 (t, J = 7.9 Hz, 1H), 7.42 – 7.38 (m, 5H), 7.17 (d, J = 8.0 Hz, 2H), 2.69 (s, 3H), 2.37 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 197.7, 145.0, 138.9 (q, J_{CF} = 4.1 Hz), 137.8, 136.2, 135.4, 133.9, 131.7, 130.0, 127.2, 127.0, 126.4, 125.4, 124.9, 123.1 (q, J_{CF} = 269.6 Hz), 120.3, 115.5, 113.2 (q, J_{CF} = 35.0 Hz), 26.9, 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.77 (s, 3F) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{24}H_{18}F_3NO_3S$ $[M+Na]^+$: 480.08517; found: 480.08556.



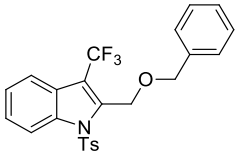
2l: 2-(Naphthalen-2-yl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1l** (79.5 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (69.8 mg, 75% yield), R_f = 0.52 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.47 (d, J = 8.5 Hz, 1H), 7.94 (d, J = 7.9 Hz, 1H), 7.88 (d, J = 8.4 Hz, 1H), 7.77 (t, J = 7.8 Hz, 2H), 7.62 – 7.56 (m, 3H), 7.54 – 7.40 (m, 3H), 7.30 (d, J = 8.4 Hz, 2H), 7.07 (d, J = 8.2 Hz, 2H), 2.35 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.6, 140.4 (q, J_{CF} = 4.1 Hz), 136.3, 135.8, 133.7, 132.1, 131.1, 129.7, 128.6, 128.4, 128.0, 127.3, 127.2, 126.9, 126.6, 126.5, 126.1, 125.5, 124.7, 123.3 (q, J_{CF} = 269.5 Hz), 120.2, 115.6, 113.0 (q, J_{CF} = 34.8 Hz), 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.82 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{26}H_{18}F_3NO_2S$ $[M]^+$: 465.1005; found: 465.1006.



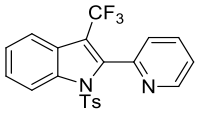
2m: 2-(Phenanthren-9-yl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1m** (89.5 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (79.2 mg, 77% yield), R_f = 0.43 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.77 (d, J = 8.2 Hz, 1H), 8.72 (d, J = 8.4 Hz, 1H), 8.54 (d, J = 8.5 Hz, 1H), 7.85 (d, J = 8.0 Hz, 1H), 7.81 – 7.76 (m, 2H), 7.69 – 7.61 (m, 2H), 7.57 (t, J = 7.8 Hz, 1H), 7.49 – 7.45 (m, 2H), 7.41 – 7.33 (m, 2H), 7.24 (d J = 8.3 Hz, 2H), 6.95 (d, J = 8.1 Hz, 2H), 2.30 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.5, 138.1 (q, J_{CF} = 4.2 Hz), 136.5, 135.5, 132.1, 131.4, 131.3, 130.4, 129.8, 129.6, 129.2, 128.1, 127.4, 127.1, 127.0, 126.7, 126.6, 126.2, 125.6, 125.3, 124.6, 123.3 (q, J_{CF} = 269.8 Hz), 122.9, 122.7, 120.3, 115.4, 113.5 (q, J_{CF} = 35.1 Hz), 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -57.09 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{30}H_{20}F_3NO_2S$ $[M]^+$: 515.1161; found: 515.1158.



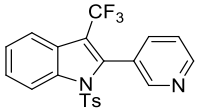
2n: 2-Butyl-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1n** (65.5 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 20:1) and obtained as a colorless oil (49.6 mg, 63% yield), R_f = 0.63 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.23 (d, J = 8.3 Hz, 1H), 7.67 – 7.63 (m, 3H), 7.37 – 7.28 (m, 2H), 7.23 (d, J = 8.2 Hz, 2H), 3.16 (t, J = 7.9 Hz, 2H), 2.36 (s, 3H), 1.75 – 7.67 (m, 2H), 1.51 – 7.42 (m, 2H), 0.96 (t, J = 7.3 Hz, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.6, 143.7 (q, J_{CF} = 3.9 Hz), 136.0, 136.0, 130.2, 126.5, 125.9, 125.2, 124.4, 124.2 (q, J_{CF} = 269.3 Hz), 119.7, 115.1, 110.9 (q, J_{CF} = 34.7 Hz), 33.5, 26.6, 22.9, 21.7, 13.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -56.60 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{20}H_{20}F_3NO_2S$ $[M]^+$: 395.1161; found: 395.1161.



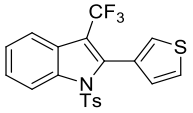
2o: 2-((Benzyloxy)methyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1o** (78.3 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (62.5 mg, 68% yield), R_f = 0.56 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.16 (d, J = 8.5 Hz, 1H), 7.91 (d, J = 8.1 Hz, 2H), 7.72 (d, J = 8.0 Hz, 1H), 7.40 (t, J = 7.8 Hz, 1H), 7.35 – 7.29 (m, 6H), 7.02 (d, J = 8.1 Hz, 2H), 5.12 (s, 2H), 4.63 (s, 2H), 2.28 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.4, 137.6, 137.1 (q, J_{CF} = 3.8 Hz), 135.8, 135.5, 129.8, 128.5, 128.2, 127.9, 127.6, 126.2, 124.9, 124.4, 123.8 (q, J_{CF} = 269.7 Hz), 120.6, 114.9, 113.4 (q, J_{CF} = 35.1 Hz), 73.2, 61.3, 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.63 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{24}H_{20}F_3NO_3S$ $[M]^+$: 459.1111; found: 459.1110.



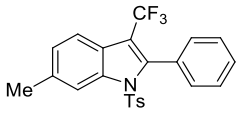
2p: 2-(Pyridin-2-yl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1p** (69.7 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (59.0 mg, 71% yield), R_f = 0.37 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.75 (d, J = 4.6 Hz, 1H), 8.18 (d, J = 8.4 Hz, 1H), 7.88 (d, J = 8.3 Hz, 2H), 7.84 (td, J = 7.7, 1.5 Hz, 1H), 7.74 (d, J = 7.8 Hz, 1H), 7.58 (d, J = 7.8 Hz, 1H), 7.46 – 7.43 (m, 2H), 7.36 (d, J = 7.5 Hz, 1H), 7.25 (d, J = 7.8 Hz, 2H), 2.35 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 149.4, 148.8, 145.6, 138.7 (q, J_{CF} = 4.1 Hz), 135.8, 135.2, 135.1, 130.0, 127.7, 126.9, 126.2, 125.3, 124.7, 124.3, 123.1 (q, J_{CF} = 269.4 Hz), 120.5, 114.9, 112.8 (q, J_{CF} = 35.5 Hz), 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -56.41 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{21}H_{15}F_3N_2O_2S$ $[M]^+$: 416.0801; found: 416.0802.



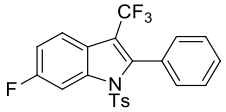
2q: 2-(Pyridin-3-yl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1q** (69.7 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 5:1) and obtained as a yellow solid (58.0 mg, 70% yield), R_f = 0.23 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.75 (br s, 1H), 8.41 (d, J = 8.6 Hz, 1H), 8.35 (br s, 1H), 7.79 – 7.74 (m, 2H), 7.52 (td, J = 7.9, 1.2 Hz, 1H), 7.45 – 7.38 (m, 2H), 7.34 (d, J = 8.4 Hz, 2H), 7.18 (d, J = 8.2 Hz, 2H), 2.35 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 150.2, 150.1, 146.2, 139.6, 136.4, 136.1 (q, J_{CF} = 3.7 Hz), 135.4, 130.1, 126.8, 126.6, 126.0, 125.1, 125.0, 123.0 (q, J_{CF} = 269.6 Hz), 122.6, 120.3, 115.4, 114.0 (q, J_{CF} = 34.9 Hz), 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.75 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{21}H_{15}F_3N_2O_2S$ $[M]^+$: 416.0801; found: 416.0807.



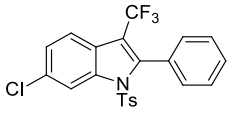
2r: 2-(Thiophen-3-yl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1r** (70.7 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (71.5 mg, 85% yield), R_f = 0.50 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.43 (d, J = 8.6 Hz, 1H), 7.74 (d, J = 8.0 Hz, 1H), 7.48 (t, J = 7.8 Hz, 1H), 7.41 – 7.33 (m, 4H), 7.20 – 7.15 (m, 3H), 7.09 (d, J = 5.0 Hz, 1H), 2.37 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.6, 136.4, 135.7, 135.4 (q, J_{CF} = 4.0 Hz), 130.8, 129.8, 128.9, 128.0, 127.1, 126.1, 125.3, 124.6, 124.2, 123.3 (q, J_{CF} = 269.6 Hz), 120.1, 115.5, 113.2 (q, J_{CF} = 34.7 Hz), 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -56.16 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{20}H_{14}F_3NO_2S_2$ $[M]^+$: 421.0413; found: 421.0413.



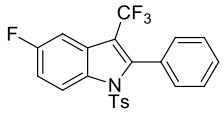
2s: 6-Methyl-2-phenyl-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1s** (83.1 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a white solid (68.7 mg, 80% yield), R_f = 0.53 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.22(s, 1H), 7.60 (d, J = 8.2 Hz, 1H), 7.48 (t, J = 7.4 Hz, 1H), 7.39 – 7.33 (m, 4H), 7.23 – 7.21 (m, 3H), 7.14 (d, J = 8.2 Hz, 2H), 2.57 (s, 3H), 2.37 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.5, 139.7 (q, J_{CF} = 4.4 Hz), 136.7, 136.3, 135.9, 131.5, 129.8, 129.7, 129.1, 127.3, 127.1, 126.2, 123.3 (q, J_{CF} = 269.4 Hz), 123.2, 119.7, 115.5, 112.7 (q, J_{CF} = 34.7 Hz), 22.3, 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.94 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{23}H_{18}F_3NO_2S$ $[M]^+$: 429.1005; found: 429.1002.



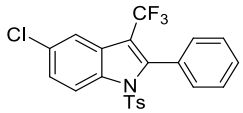
2t: 6-Fluoro-2-phenyl-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1t** (73.1 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a yellow solid (77.3 mg, 89% yield), R_f = 0.46 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.17 (d, J = 10.3 Hz, 1H), 7.68 (t, J = 7.0 Hz, 1H), 7.50 (t, J = 7.5 Hz, 1H), 7.40 – 7.33 (m, 4H), 7.24 – 7.13 (m, 5H), 2.38 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.5 (d, J_{CF} = 243.3 Hz), 145.9, 140.8 (m), 136.4 (d, J_{CF} = 12.6 Hz), 135.5, 131.5, 129.9, 129.9, 128.6, 127.4, 127.3, 123.1 (q, J_{CF} = 269.6 Hz), 121.7, 121.1 (d, J_{CF} = 9.6 Hz), 113.2 (d, J_{CF} = 24.3 Hz), 112.3 (q, J_{CF} = 35.1 Hz), 102.9 (d, J_{CF} = 29.4 Hz), 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.97 (s, 3F), -115.09 (td, J = 9.5, 5.4 Hz) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{22}H_{15}F_4NO_2S$ $[M+Na]^+$: 456.06518; found: 456.06539.



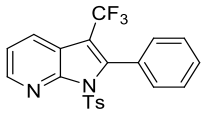
2u: 6-Chloro-2-phenyl-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1u** (76.4 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 10:1) and obtained as a yellow solid (62.8 mg, 70% yield), R_f = 0.51 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.47 (d, J = 1.6 Hz, 1H), 7.65 (d, J = 8.4 Hz, 1H), 7.50 (t, J = 7.5 Hz, 1H), 7.40 – 7.32 (m, 5H), 7.21 (d, J = 7.3 Hz, 2H), 7.17 (d, J = 8.1 Hz, 2H), 2.38 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 146.0, 141.0 (q, J_{CF} = 4.2 Hz), 136.5, 135.5, 132.1, 131.4, 130.0, 129.9, 128.4, 127.4, 127.3, 125.4, 123.9, 123.0 (q, J_{CF} = 269.6 Hz), 121.0, 115.7, 112.4 (q, J_{CF} = 35.0 Hz), 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.94 (s, 3F) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{22}H_{15}ClF_3NO_2S$ $[M+Na]^+$: 472.03563; found: 472.03586.



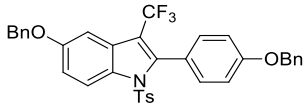
2v: 5-Fluoro-2-phenyl-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1v** (73.1 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a yellow solid (70.3 mg, 81% yield), R_f = 0.49 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.37 (dd, J = 9.3, 4.5 Hz, 1H), 7.51 (t, J = 7.5 Hz, 1H), 7.41 – 7.37 (m, 3H), 7.32 (d, J = 8.3 Hz, 2H), 7.24 – 7.14 (m, 5H), 2.38 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 161.2 (d, J_{CF} = 242.2 Hz), 145.9, 142.1 (q, J_{CF} = 4.0 Hz), 135.5, 132.5, 131.3, 130.0, 130.0, 128.6, 127.4, 127.2, 126.4 (d, J_{CF} = 10.5 Hz), 123.0 (q, J_{CF} = 269.5 Hz), 116.9 (d, J_{CF} = 9.1 Hz), 114.1 (d, J_{CF} = 25.2 Hz), 112.5 (qd, J_{CF} = 35.1, 4.0 Hz), 105.9 (d, J_{CF} = 25.5 Hz), 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -56.05 (s, 3F), -118.49 (td, J = 8.8, 4.2 Hz) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{22}H_{15}F_4NO_2S$ $[M+Na]^+$: 456.06518; found: 456.06528.



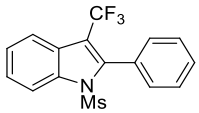
2w: 5-Chloro-2-phenyl-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1w** (76.4 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (58.3 mg, 65% yield), R_f = 0.51 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.35 (d, J = 9.0 Hz, 1H), 7.77 (s, 1H), 7.51 (t, J = 7.4 Hz, 1H), 7.45 – 7.37 (m, 3H), 7.32 (d, J = 8.2 Hz, 2H), 7.23 (d, J = 7.6 Hz, 2H), 7.16 (d, J = 8.2 Hz, 2H), 2.38 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 145.9, 141.8 (q, J_{CF} = 4.0 Hz), 135.4, 134.5, 131.4, 130.6, 130.1, 129.9, 128.4, 127.4, 127.2, 126.5, 126.3, 123.0 (q, J_{CF} = 269.7 Hz), 129.8, 116.7, 112.0 (q, J_{CF} = 35.3 Hz), 21.8 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.92 (s, 3F) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{22}H_{15}ClF_3NO_2S$ $[M+Na]^+$: 472.03563; found: 472.03579.



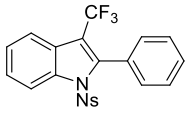
2x: 2-Phenyl-1-tosyl-3-(trifluoromethyl)-1*H*-pyrrolo[2,3-*b*]pyridine. Prepared according to the general procedure C. Reaction was run using **1x** (69.7 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a yellow solid (60.6 mg, 73% yield), R_f = 0.29 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.59 (dd, J = 4.8, 1.6 Hz, 1H), 8.03 (d, J = 8.0 Hz, 1H), 7.93 (d, J = 8.4 Hz, 2H), 7.58 – 7.54 (m, 1H), 7.51 – 7.47 (m, 2H), 7.43 – 7.41 (m, 2H), 7.32 (dd, J = 8.0, 4.8 Hz, 1H), 7.26 (d, J = 8.2 Hz, 2H), 2.39 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 147.4, 146.0, 145.8, 141.0 (q, J_{CF} = 4.1 Hz), 135.7, 130.4, 129.9, 129.7, 129.2, 128.7, 128.4, 127.6, 123.1 (q, J_{CF} = 269.4 Hz), 120.1, 118.0, 109.3 (q, J_{CF} = 35.5 Hz), 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.35 (s, 3F) ppm. **HRMS** *m/z* (ESI) calcd. for $C_{21}H_{15}F_3N_2O_2S$ $[M+Na]^+$: 439.06985; found: 439.06980.



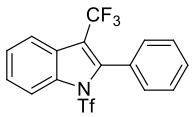
2y: 5-(Benzyloxy)-2-(4-(benzyloxy)phenyl)-1-tosyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **1y** (111.9 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (99.6 mg, 79% yield), R_f = 0.41 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.34 (d, J = 9.2 Hz, 1H), 7.53 – 7.37 (m, 10H), 7.33 (d, J = 8.3 Hz, 2H), 7.27 (s, 1H), 7.21 – 7.17 (m, 3H), 7.11 (d, J = 8.2 Hz, 2H), 7.01 (d, J = 8.7 Hz, 2H), 5.16 (s, 2H), 5.15 (s, 2H), 2.36 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 159.9, 156.3, 145.4, 141.2 (q, J_{CF} = 3.9 Hz), 136.8, 136.7, 135.6, 132.8, 130.9, 129.7, 128.7, 128.7, 128.2, 128.2, 127.8, 127.0, 126.5, 123.4 (q, J_{CF} = 269.5 Hz), 121.1, 116.7, 115.6, 113.6, 112.4 (q, J_{CF} = 34.4 Hz), 103.5, 70.6, 70.1, 21.7 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.94 (s, 3F) ppm. **HRMS** *m/z* (APCI) calcd. for $C_{36}H_{28}F_3NO_4S$ $[M+H]^+$: 628.17639; found: 628.17637.



4a: 1-(Methylsulfonyl)-2-phenyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run at room temperature (23 °C) using **3a** (54.3 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a white solid (36.7 mg, 54% yield), R_f = 0.40 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.18 (d, J = 7.8 Hz, 1H), 7.83 (d, J = 7.9 Hz, 1H), 7.55 – 7.42 (m, 7H), 3.03 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 140.3 (q, J_{CF} = 3.9 Hz), 135.7, 130.8, 130.1, 129.1, 127.9, 126.3, 125.4, 125.0, 123.2 (q, J_{CF} = 269.5 Hz), 120.5, 114.9, 112.8 (q, J_{CF} = 35.0 Hz), 42.5 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -55.99 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{16}H_{12}F_3NO_2S$ $[M]^+$: 339.0535; found: 339.0540.

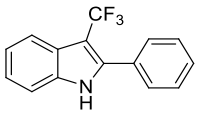


4b: 1-((4-Nitrophenyl)sulfonyl)-2-phenyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run at room temperature (23 °C) using **3b** (75.7 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a yellow solid (53.6 mg, 60% yield), R_f = 0.54 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.39 (d, J = 8.5 Hz, 1H), 8.19 (d, J = 8.7 Hz, 2H), 7.76 (d, J = 7.8 Hz, 1H), 7.63 (d, J = 8.7 Hz, 2H), 7.55 – 7.51 (m, 2H), 7.46 – 7.39 (m, 3H), 7.24 (d, J = 7.8 Hz, 2H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 150.9, 143.6, 140.1 (q, J_{CF} = 4.0 Hz), 136.1, 131.5, 130.3, 128.5, 128.3, 127.6, 126.7, 125.6, 125.4, 124.4, 122.9 (q, J_{CF} = 269.7 Hz), 120.6, 115.4, 113.9 (q, J_{CF} = 34.9 Hz) ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -56.20 (s, 3F) ppm. **HRMS** *m/z* (EI) calcd. for $C_{21}H_{13}F_3N_2O_4S$ $[M]^+$: 446.0543; found: 446.0546.

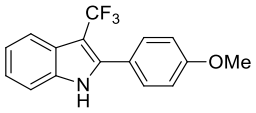


4c: 2-Phenyl-3-(trifluoromethyl)-1-((trifluoromethyl)sulfonyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run at room temperature (23 °C) using **3c** (65.1 mg, 0.2 mmol), and

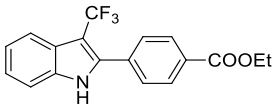
[$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 20:1) and obtained as a white solid (41.6 mg, 53% yield), R_f = 0.74 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.08 (d, J = 7.6 Hz, 1H), 7.83 (d, J = 7.4 Hz, 1H), 7.56 – 7.42 (m, 7H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 141.1 (q, J_{CF} = 4.3 Hz), 135.9, 130.9, 130.4, 127.8, 127.7, 127.2, 126.3, 125.6, 122.6 (q, J_{CF} = 270.2 Hz), 120.9, 119.5 (q, J_{CF} = 325.0 Hz), 115.6 (q, J_{CF} = 35.3 Hz), 115.4 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -56.77 (s, 3F), -75.04 (s, 3F) ppm. **HRMS** *m/z* (APCI) calcd. for $C_{16}H_9F_6NO_2S$ $[M]^+$: 393.02527; found: 393.02511.



5: 2-Phenyl-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **3a** (54.3 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 8:1) and obtained as a yellow solid (32.2 mg, 62% yield), R_f = 0.39 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.35 (br s, 1H), 7.84 (d, J = 7.3 Hz, 1H), 7.62 – 7.60 (m, 2H), 7.51 – 7.48 (m, 3H), 7.42 (d, J = 8.1 Hz, 1H), 7.33 – 7.25 (m, 2H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 138.7 (q, J_{CF} = 3.9 Hz), 135.0, 131.2, 129.5, 129.2, 128.8, 126.2, 125.7, 123.6, 121.8, 120.2, 111.2, 103.7 (q, J_{CF} = 35.8 Hz) ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -53.34 (s, 3F) ppm. The spectral data are in full accordance with the literature report.[18]



5aa: 2-(4-Methoxyphenyl)-3-(trifluoromethyl)-1*H*-indole. Prepared according to the general procedure C. Reaction was run using **3aa** (60.3 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 5:1) and obtained as a white solid (38.4 mg, 90% yield), R_f = 0.20 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.29 (br s, 1H), 7.81 (d, J = 7.2 Hz, 1H), 7.53 (d, J = 8.4 Hz, 2H), 7.40 (d, J = 7.6 Hz, 1H), 7.30 – 7.23 (m, 2H), 7.01 (d, J = 8.5 Hz, 2H), 3.87 (s, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 160.6, 138.7 (q, J_{CF} = 4.1 Hz), 134.9, 130.4, 125.8, 125.0 (q, J_{CF} = 267.4 Hz), 123.5, 123.3, 121.7, 120.0, 114.3, 111.1, 103.1 (q, J_{CF} = 35.7 Hz), 55.5 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -53.89 (s, 3F) ppm. The spectral data are in full accordance with the literature report.[19]



5ab: Ethyl 4-(3-(trifluoromethyl)-1*H*-indol-2-yl)benzoate. Prepared according to the general procedure C. Reaction was run using **3ab** (68.7 mg, 0.2 mmol), and [$CuCF_3$] in DMF (1.0 mL, 0.4 mmol). The product was purified by flash column chromatography on silica gel (hexane:ethyl acetate = 5:1) and obtained as a yellow solid (40.7 mg, 61% yield), R_f = 0.21 (hexane:ethyl acetate = 5:1). **^{1}H NMR** (400 MHz, $CDCl_3$): δ 8.63 (br s, 1H), 8.13 (d, J = 8.3 Hz, 2H), 7.84 (d, J = 7.8 Hz, 1H), 7.67 (d, J = 8.2 Hz, 2H), 7.46 (d, J = 7.9 Hz, 1H), 7.35 – 7.28 (m, 2H), 4.42 (q, J = 7.1 Hz, 2H), 1.43 (t, J = 7.1 Hz, 3H) ppm. **^{13}C NMR** (101 MHz, $CDCl_3$): δ 166.4, 137.3 (q, J_{CF} = 4.0 Hz), 135.5, 135.3, 131.1, 129.9, 129.2, 125.7, 124.7 (q, J_{CF} = 268.0 Hz), 124.0, 122.1, 120.3, 111.4, 104.5 (q, J_{CF} = 36.1 Hz), 61.5, 14.4 ppm. **^{19}F NMR** (377 MHz, $CDCl_3$): δ -53.85 (s, 3F) ppm. The spectral data are in full accordance with the literature report.[19]

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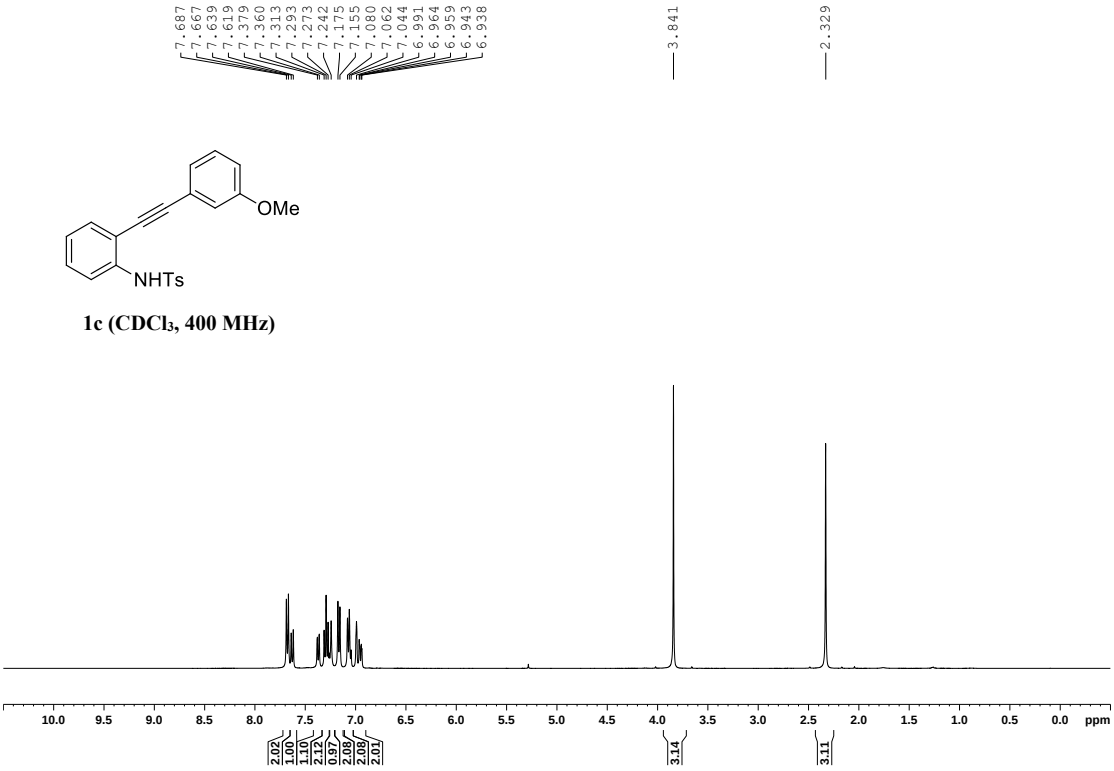
Spectra of substrates.



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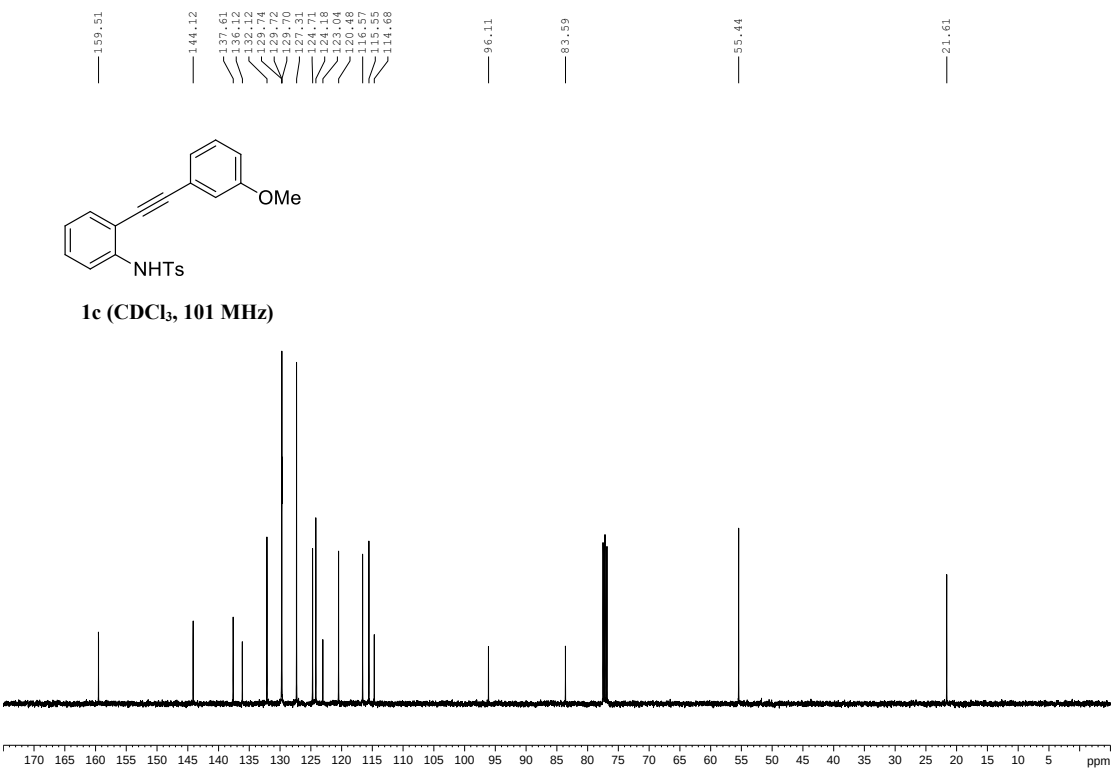

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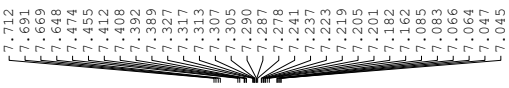

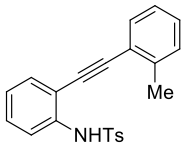

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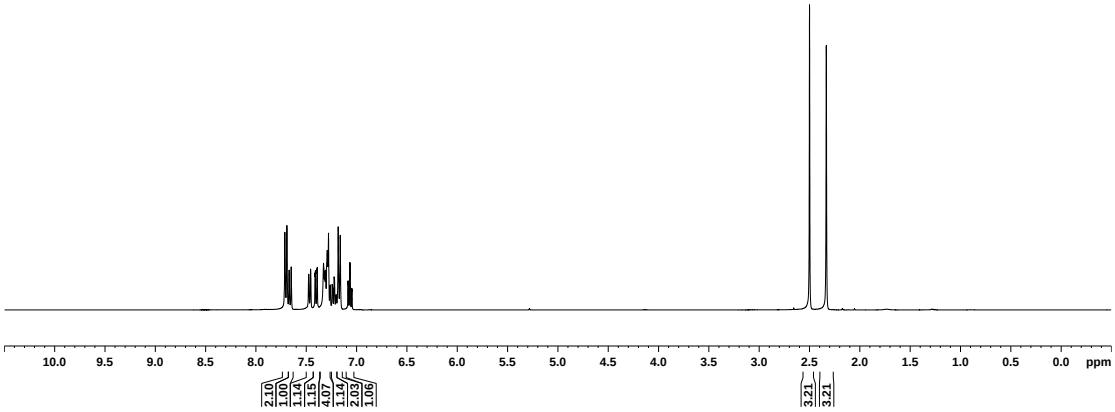

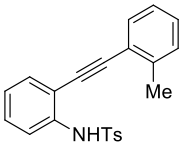

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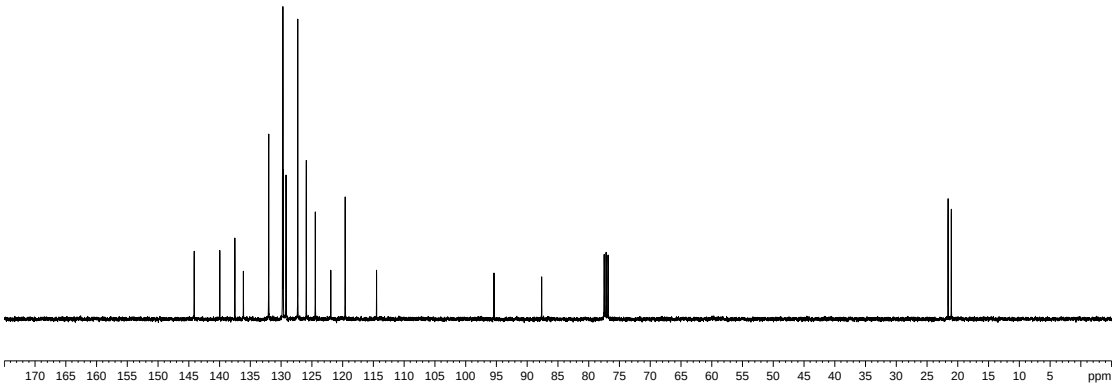

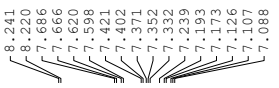

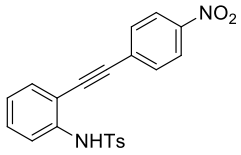

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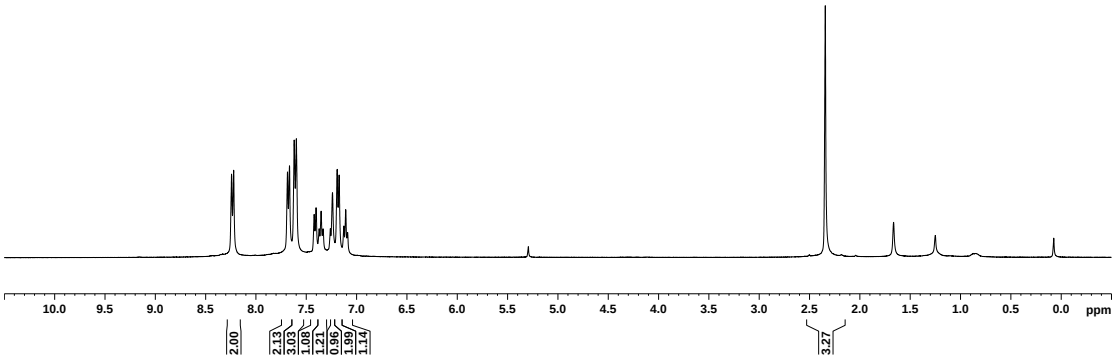

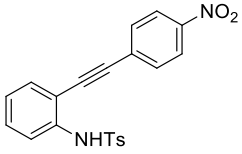

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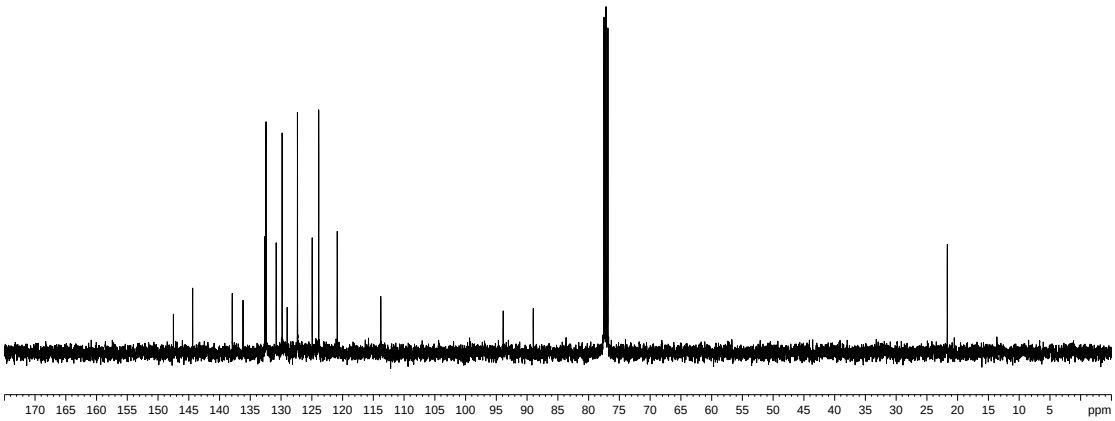

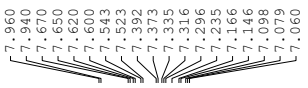

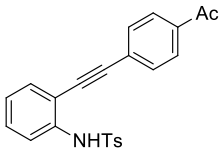

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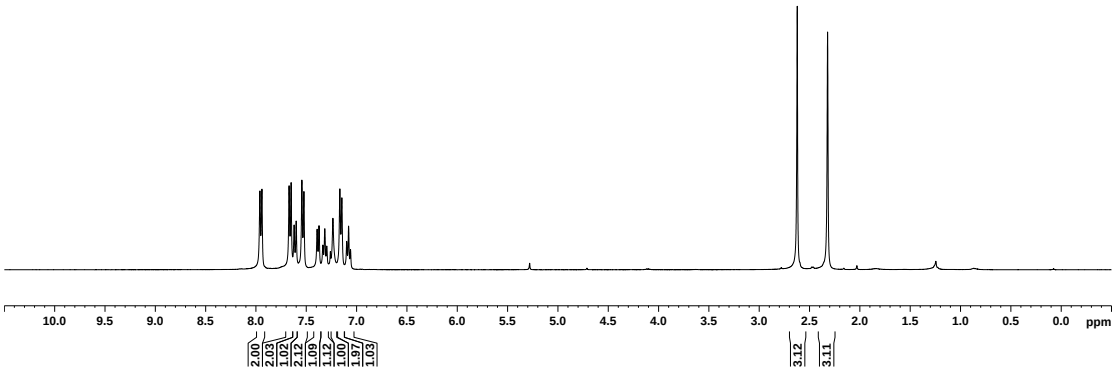
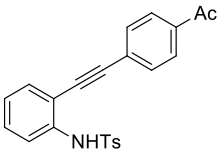
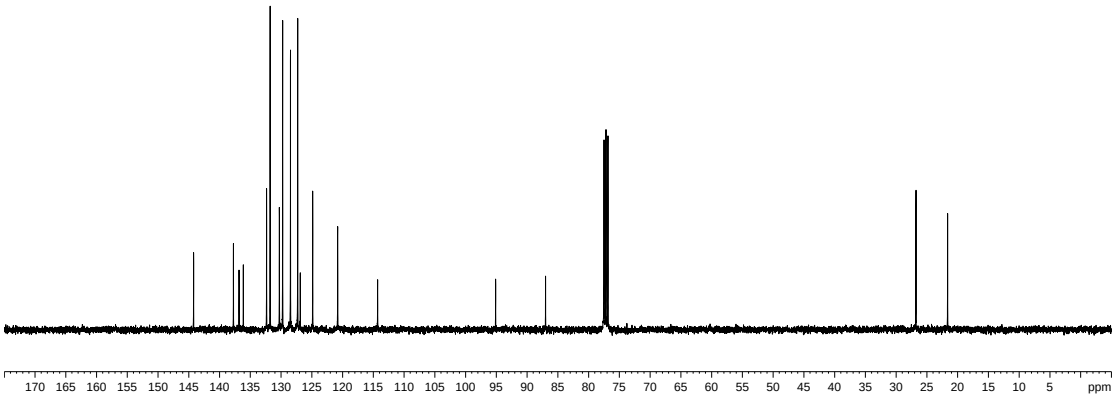
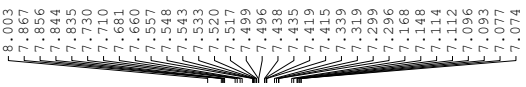
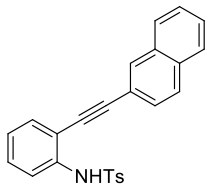




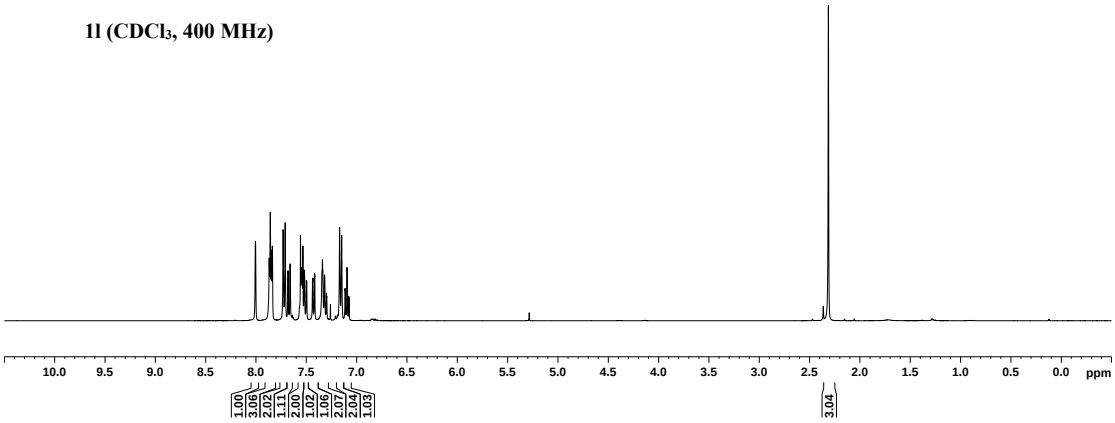
1k ($CDCl_3$, 101 MHz)

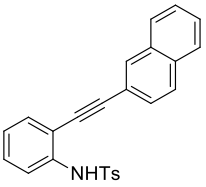




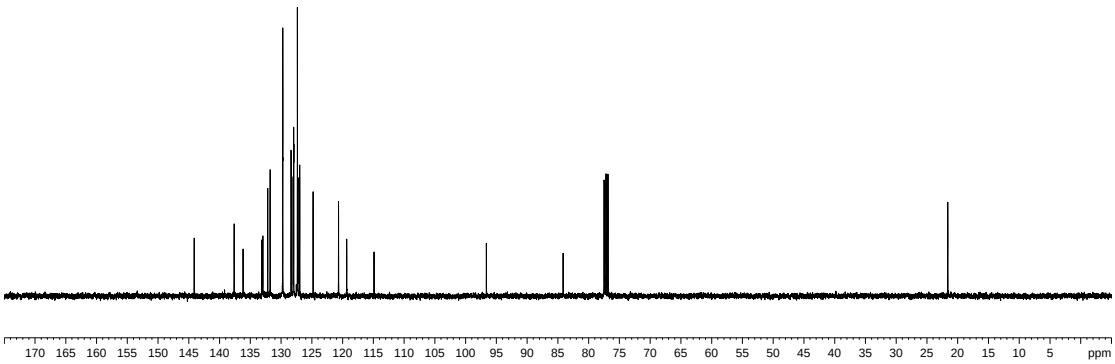


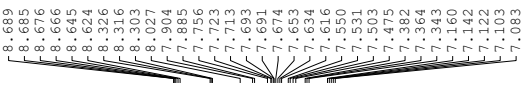
1l (CDCl$_3$, 400 MHz)

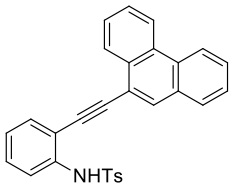




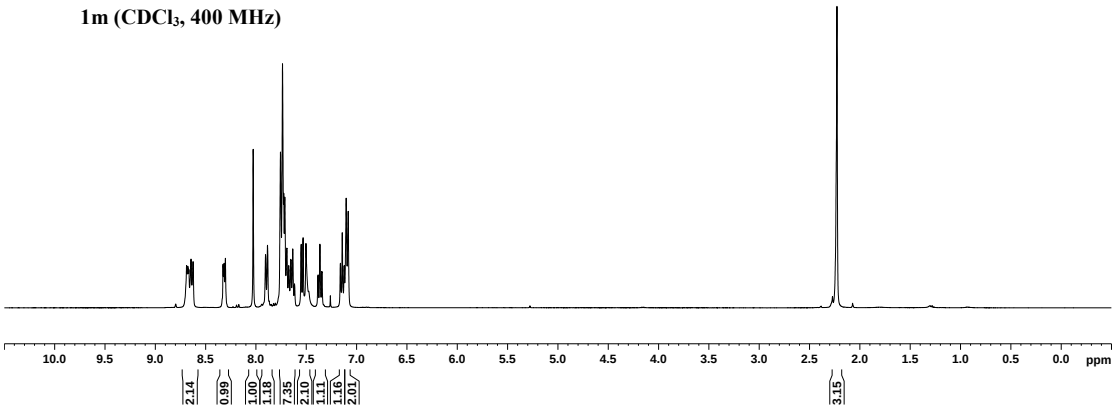
1l (CDCl$_3$, 101 MHz)

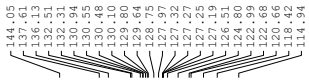


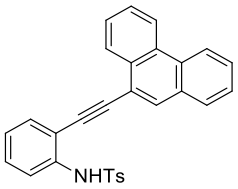




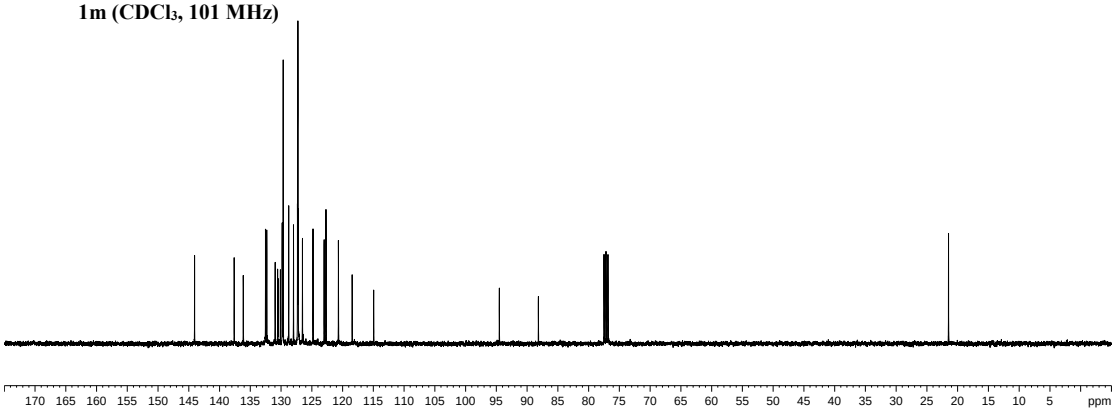
1m ($CDCl_3$, 400 MHz)

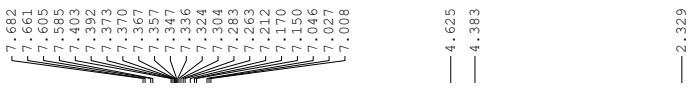


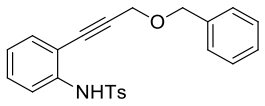




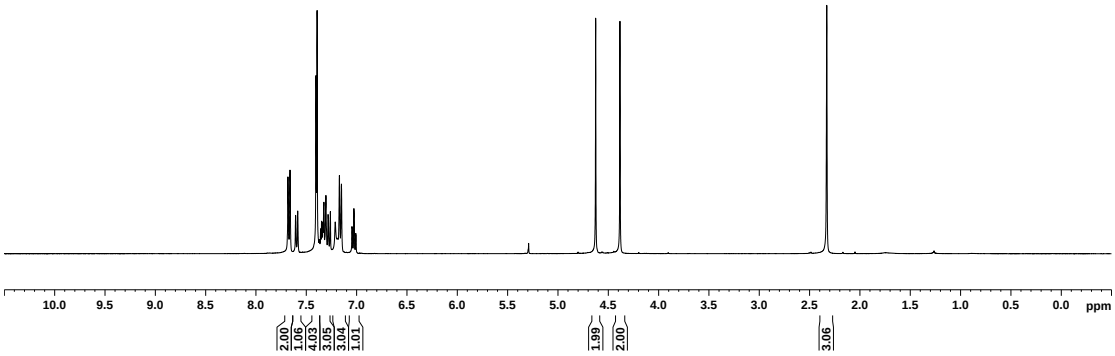
1m ($CDCl_3$, 101 MHz)

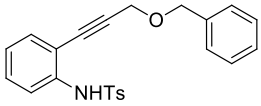




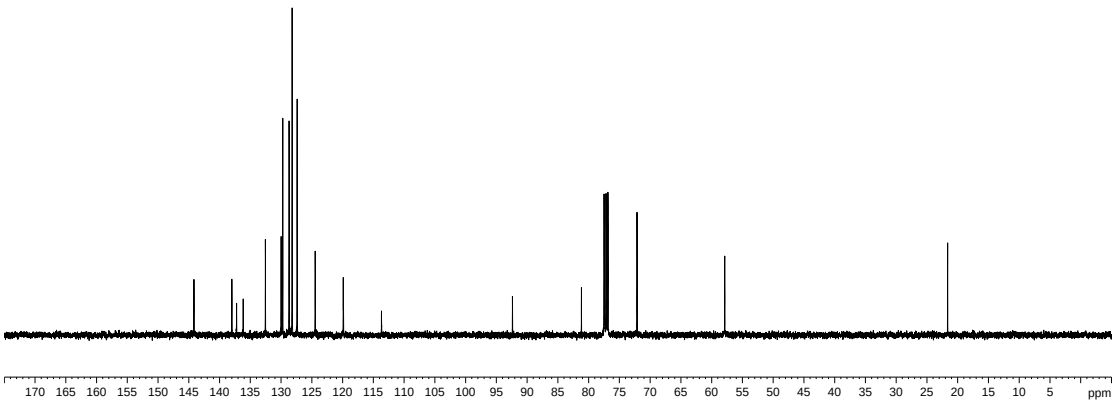


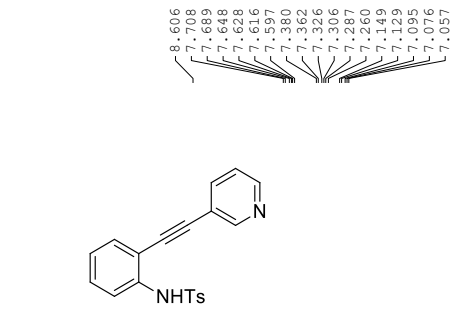
1o ($CDCl_3$, 400 MHz)



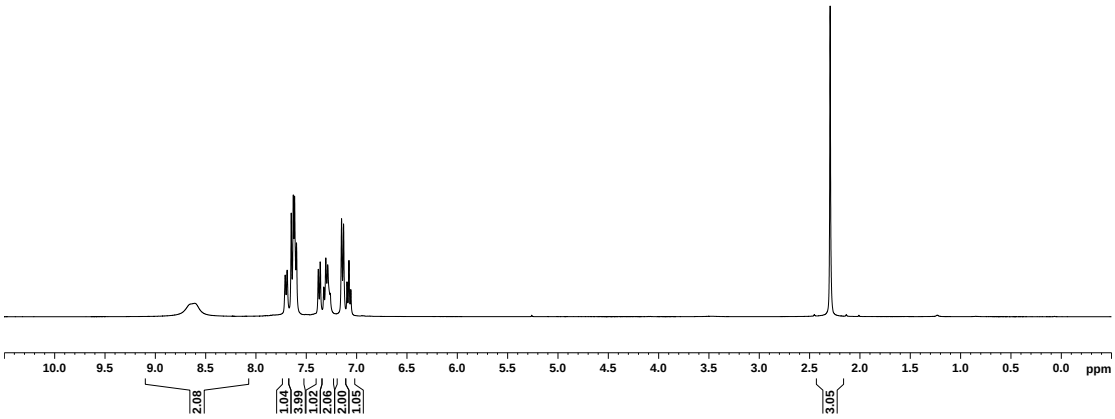


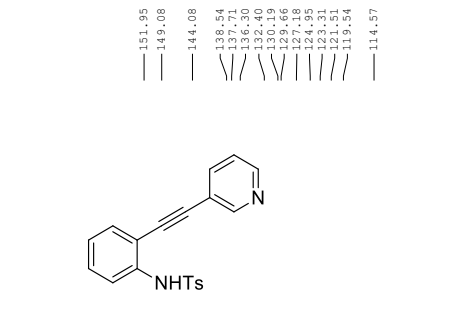
1o ($CDCl_3$, 101 MHz)



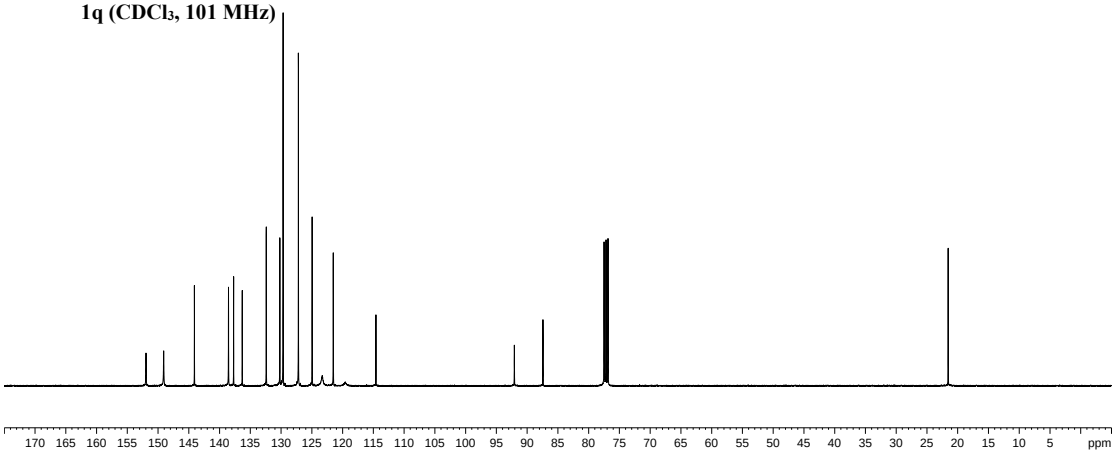


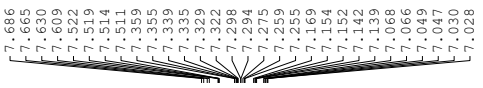
1q ($CDCl_3$, 400 MHz)

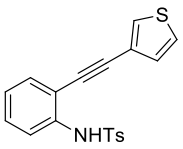




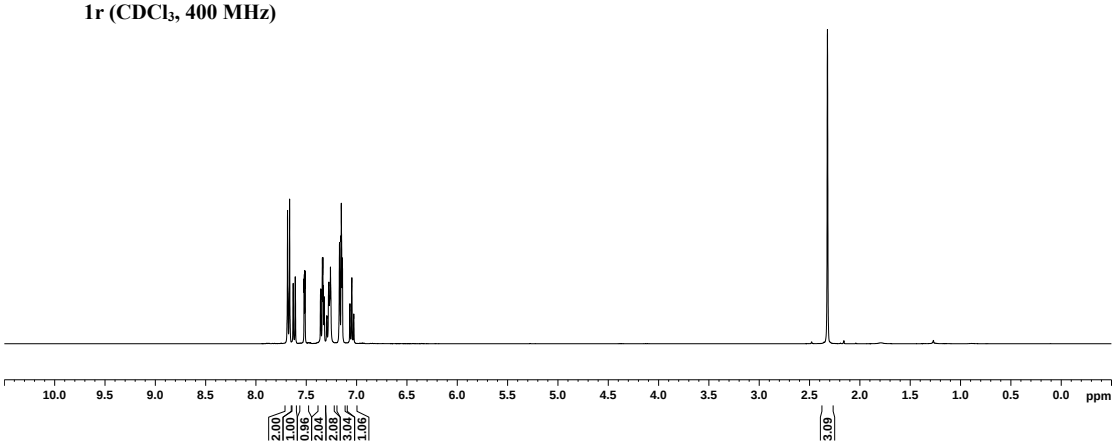
1q ($CDCl_3$, 101 MHz)

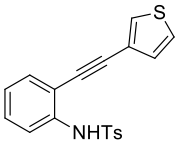




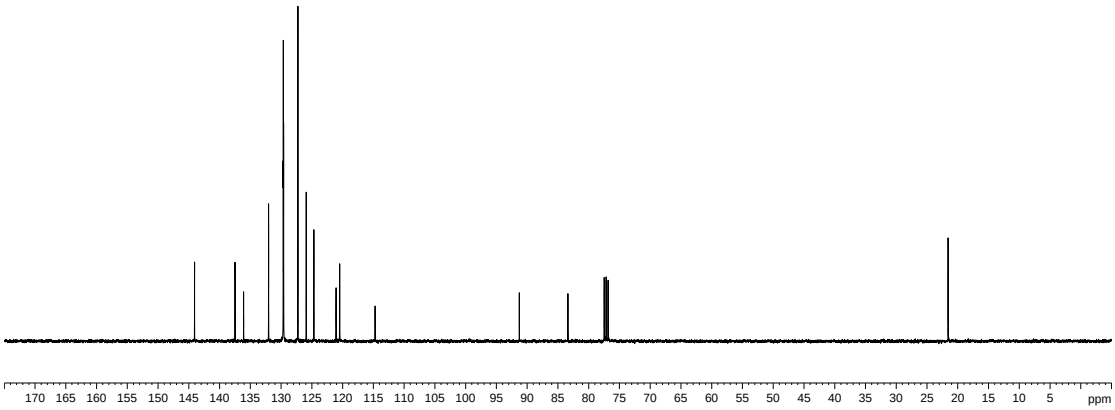


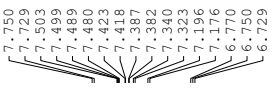
1r ($CDCl_3$, 400 MHz)

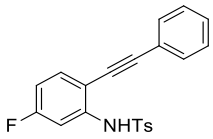




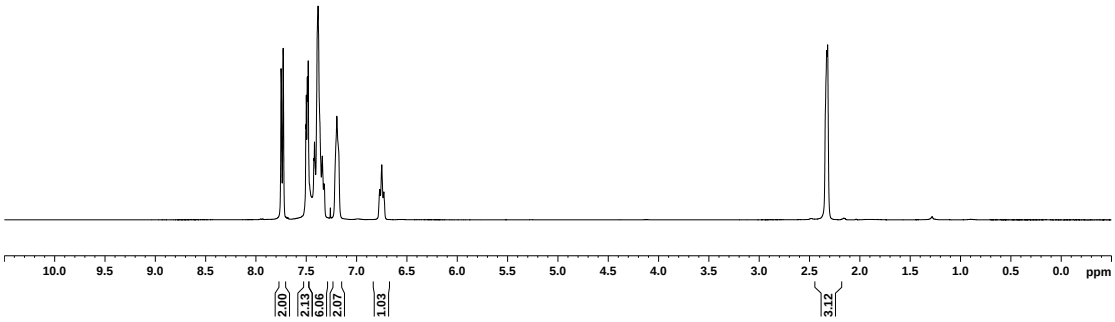
1r ($CDCl_3$, 101 MHz)

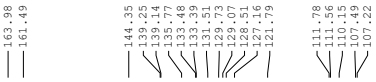


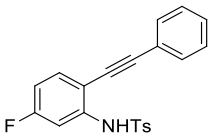




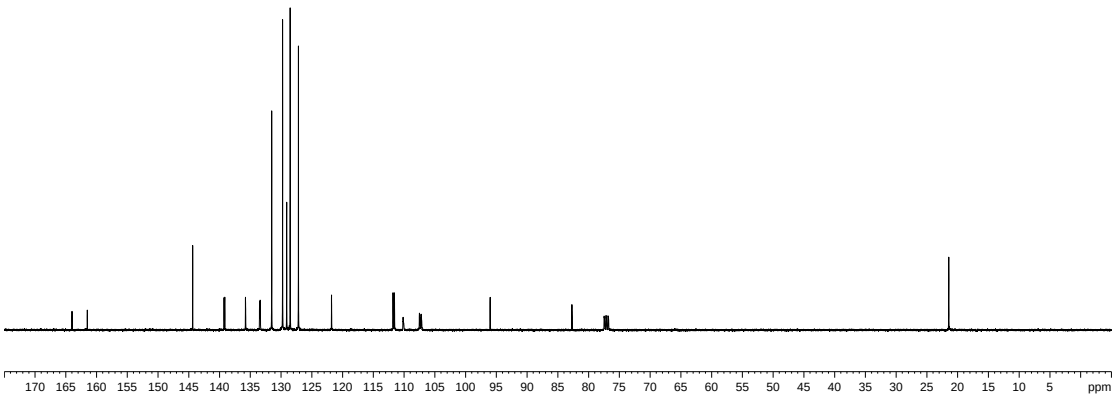
1t ($CDCl_3$, 400 MHz)

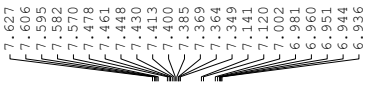


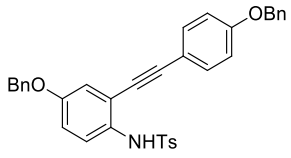




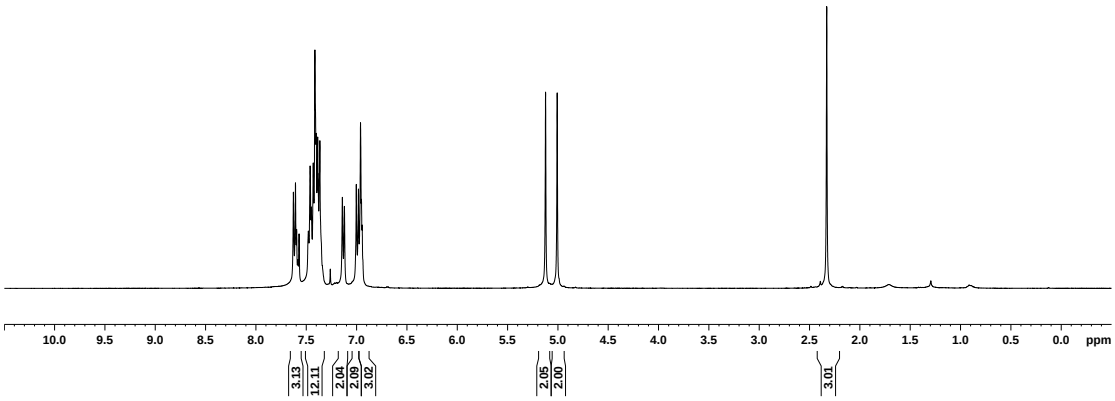
1t ($CDCl_3$, 101 MHz)

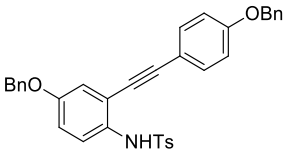




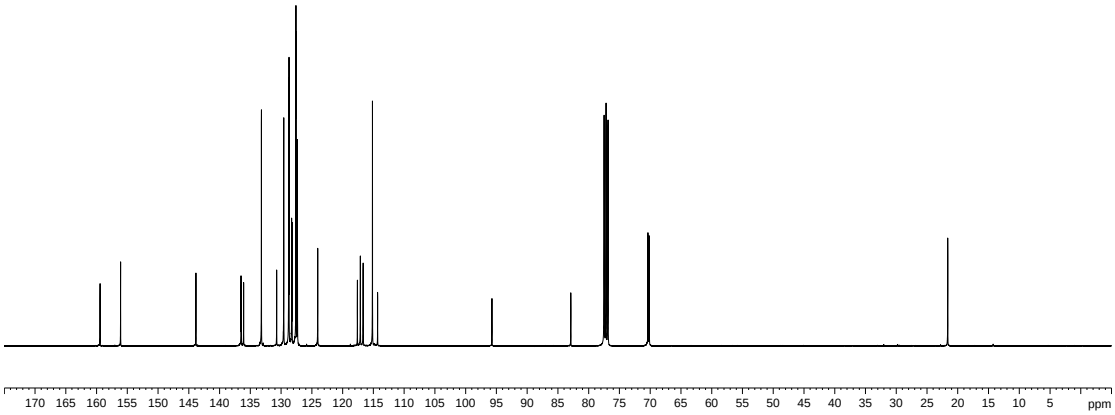


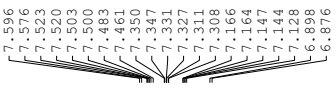
1y (CDCl₃, 400 MHz)

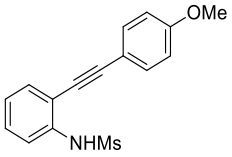




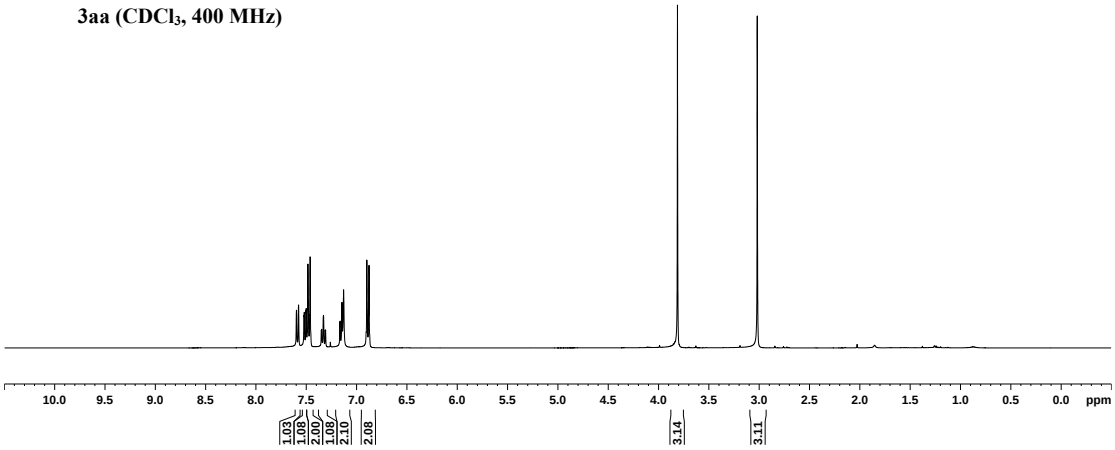
1y (CDCl₃, 101 MHz)

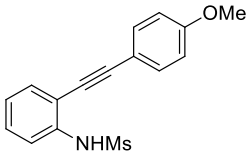




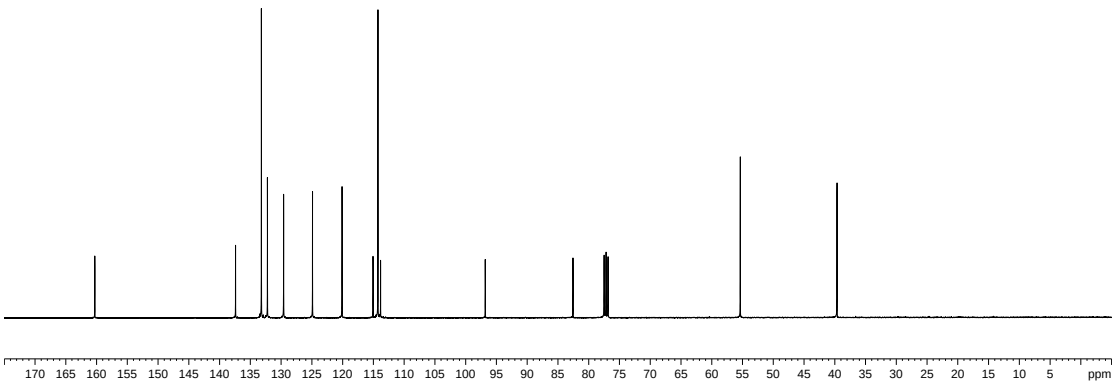


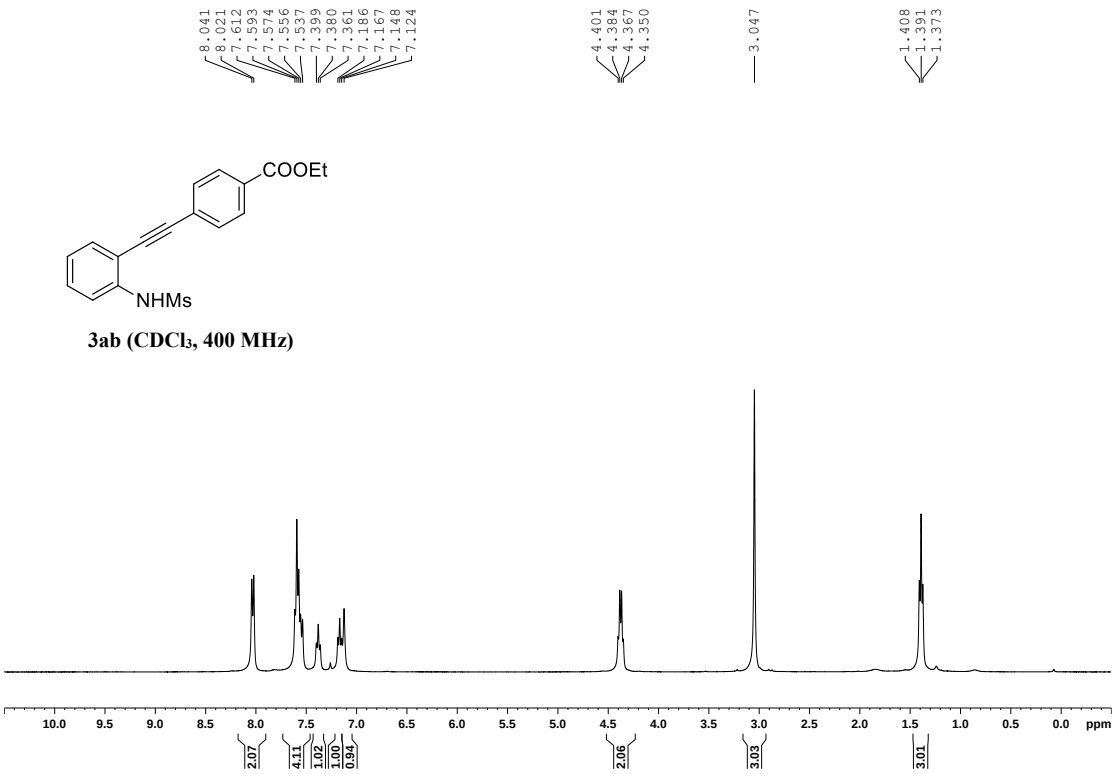
3aa ($CDCl_3$, 400 MHz)



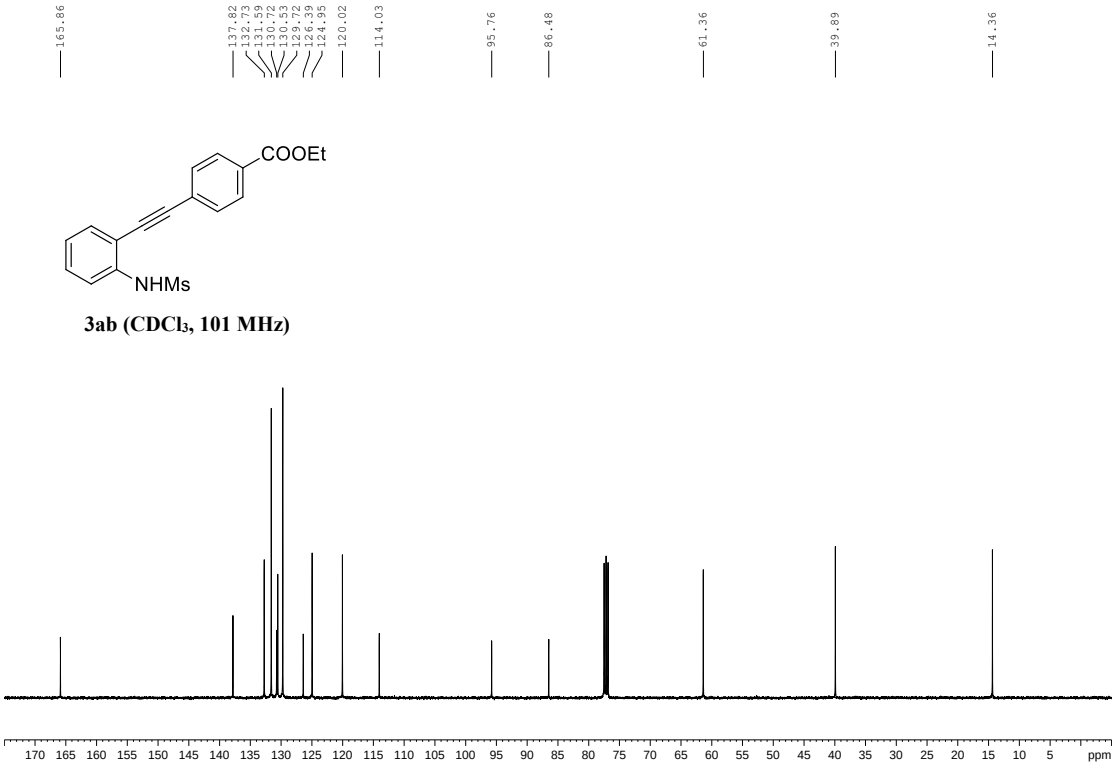


3aa ($CDCl_3$, 101 MHz)

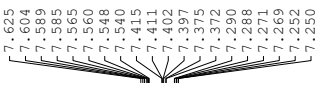


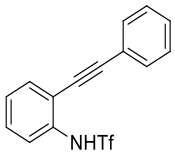


3ab ($CDCl_3$, 400 MHz)

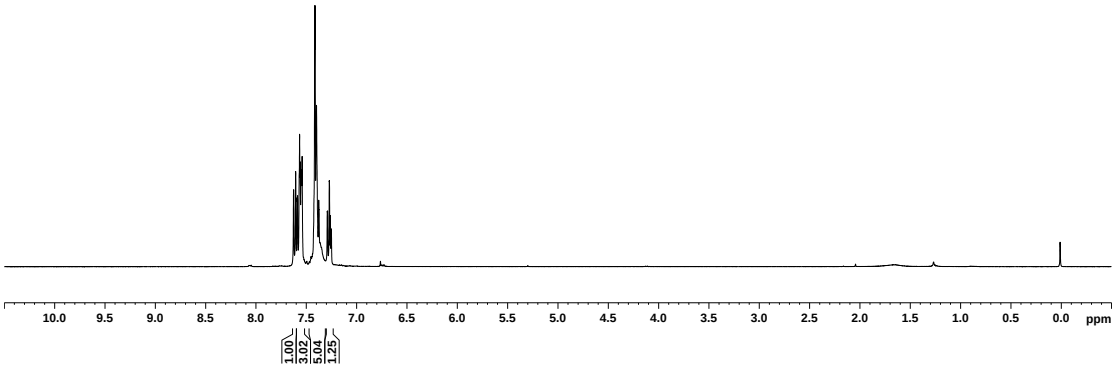


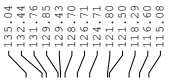
3ab ($CDCl_3$, 101 MHz)

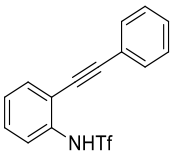




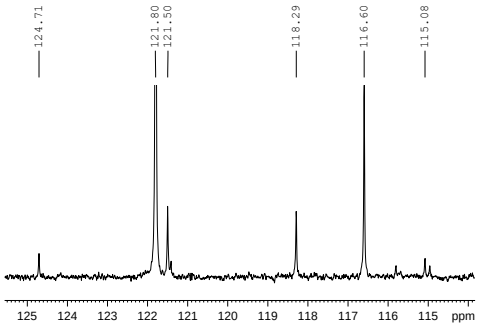
3c ($CDCl_3$, 400 MHz)

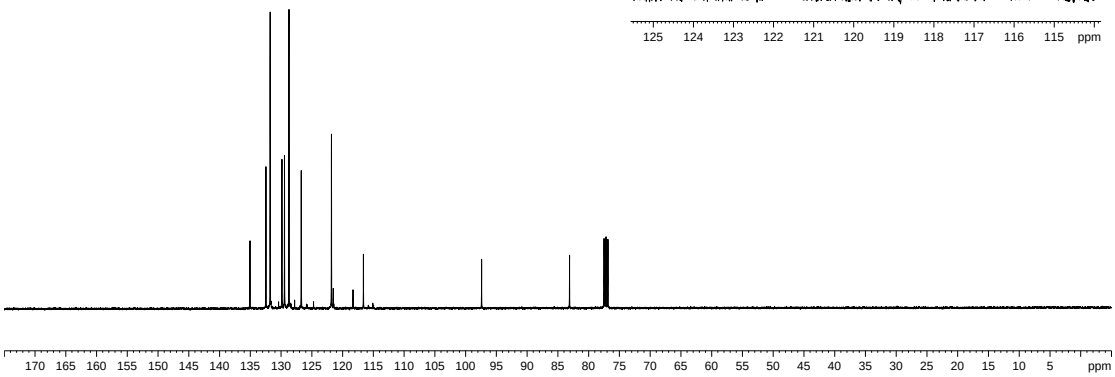


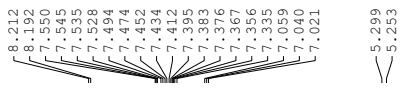


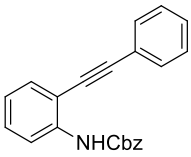


3c ($CDCl_3$, 101 MHz)

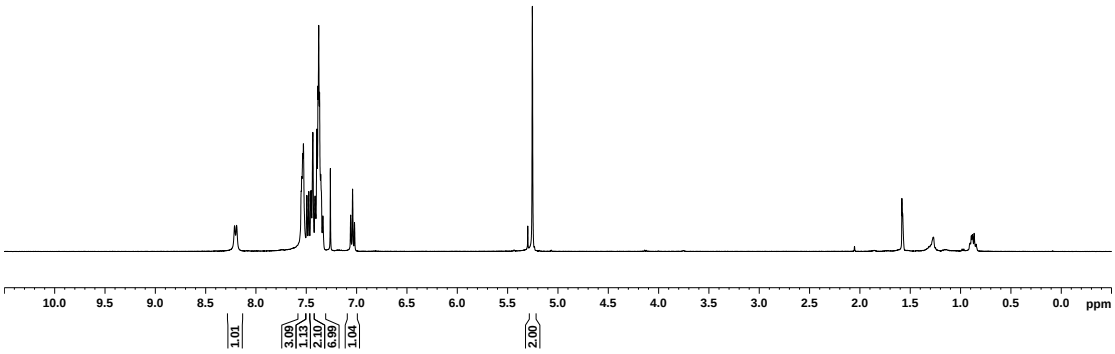


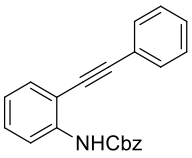




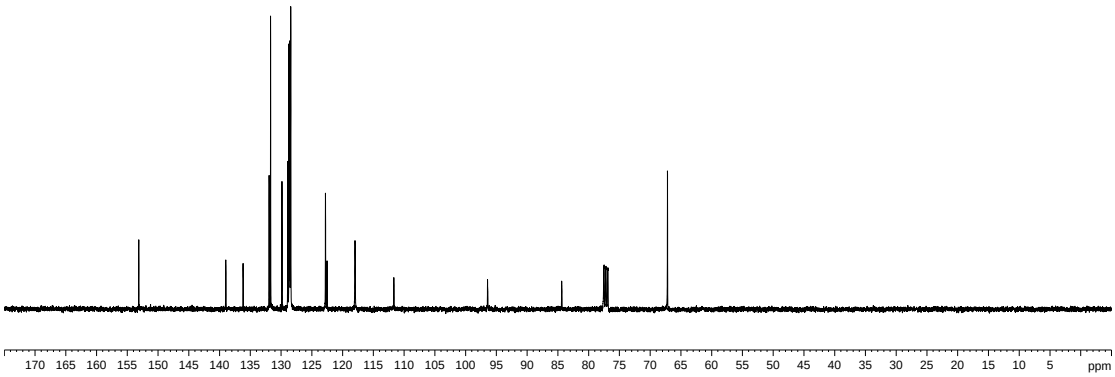


SI-1d ($CDCl_3$, 400 MHz)

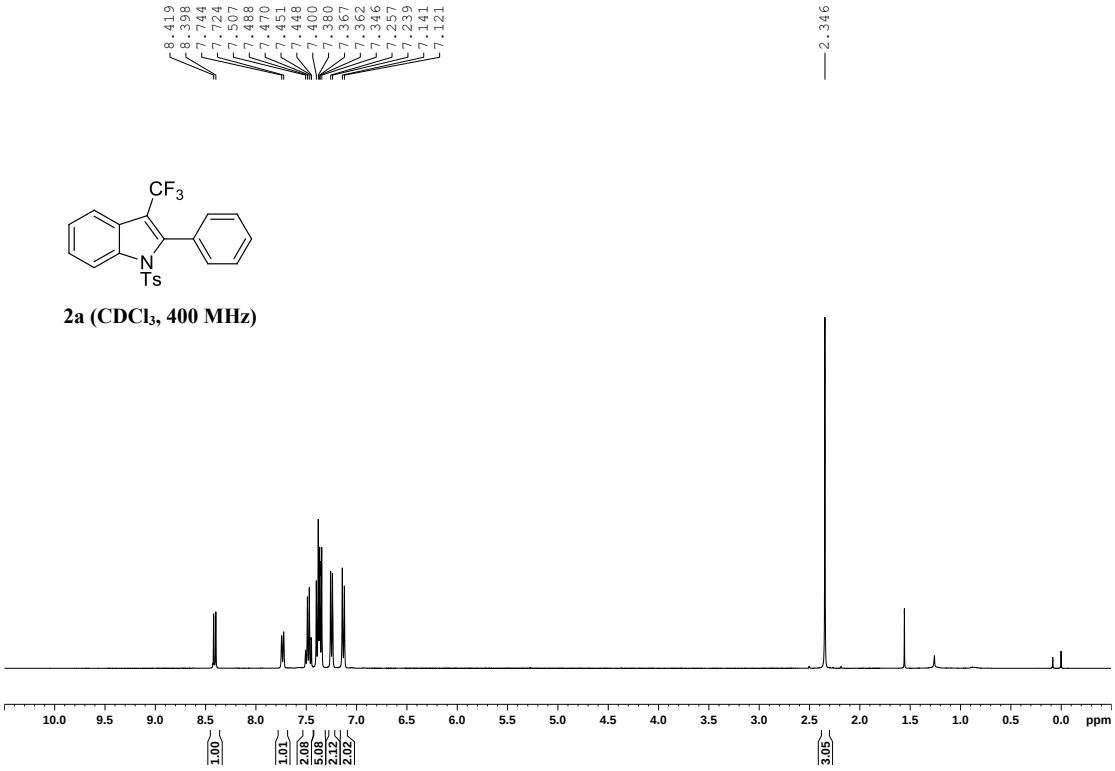




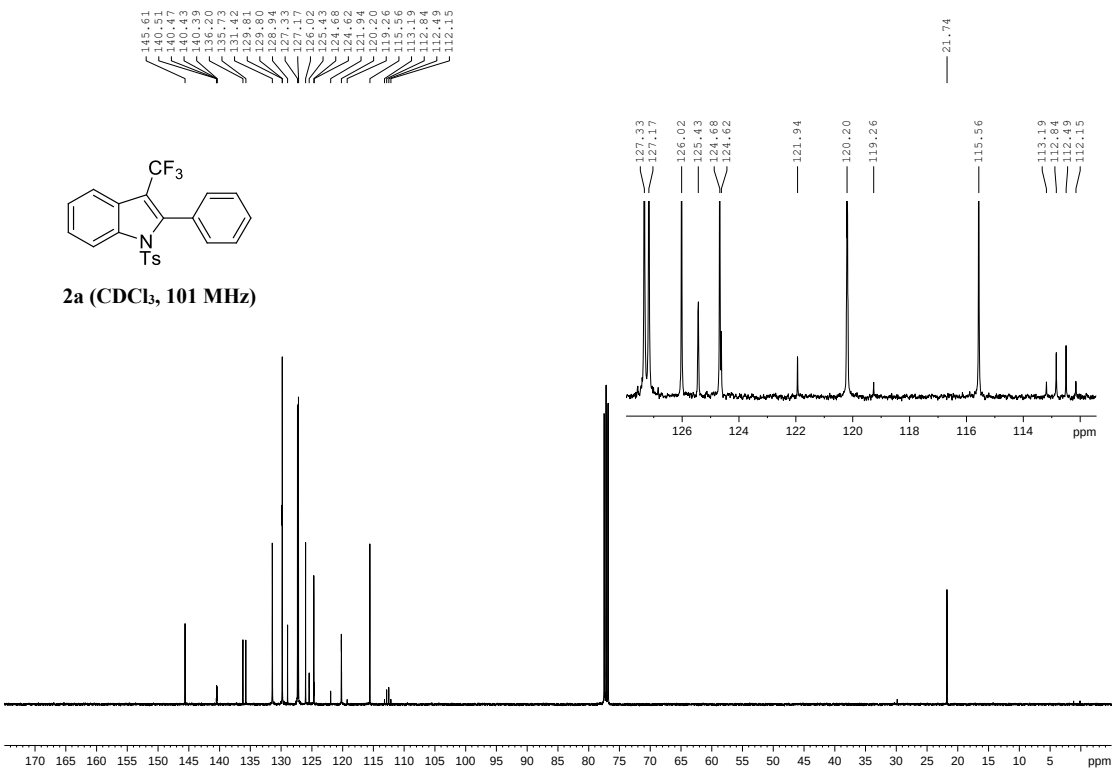
SI-1d ($CDCl_3$, 101 MHz)



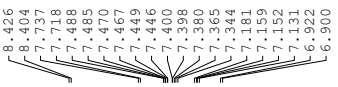
Spectra of products.

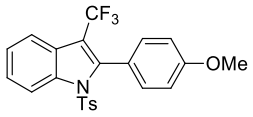


2a ($CDCl_3$, 400 MHz)

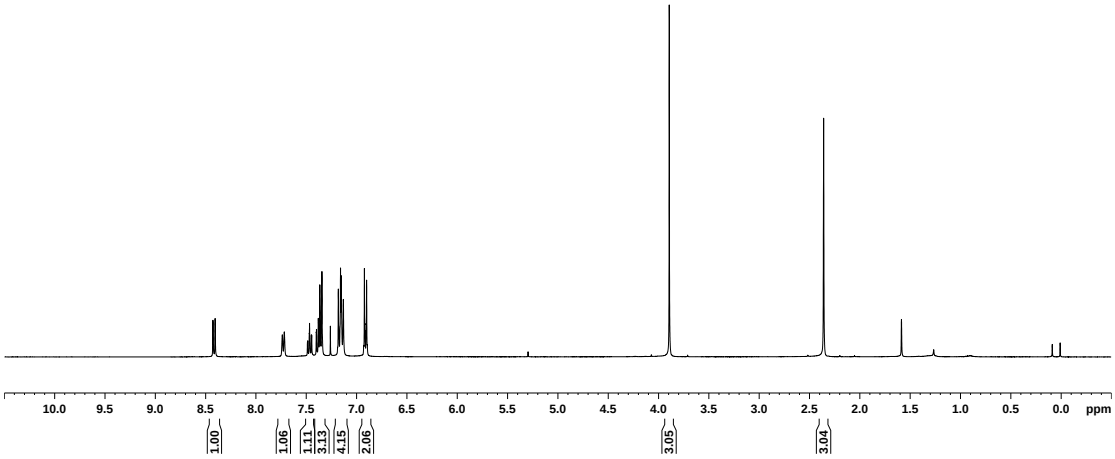


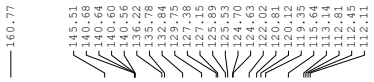
2a ($CDCl_3$, 101 MHz)

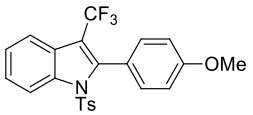




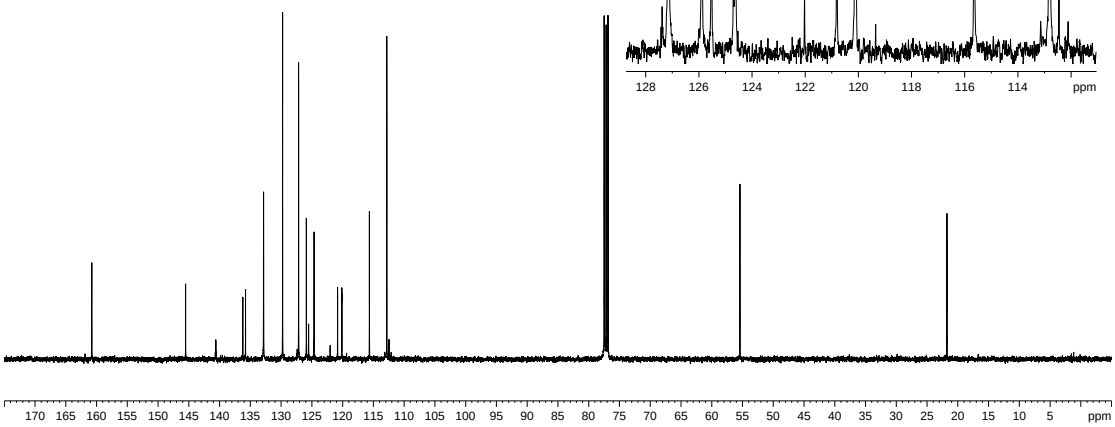
2b ($CDCl_3$, 400 MHz)

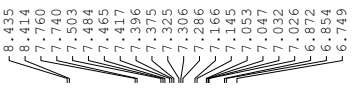


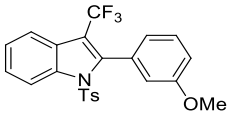




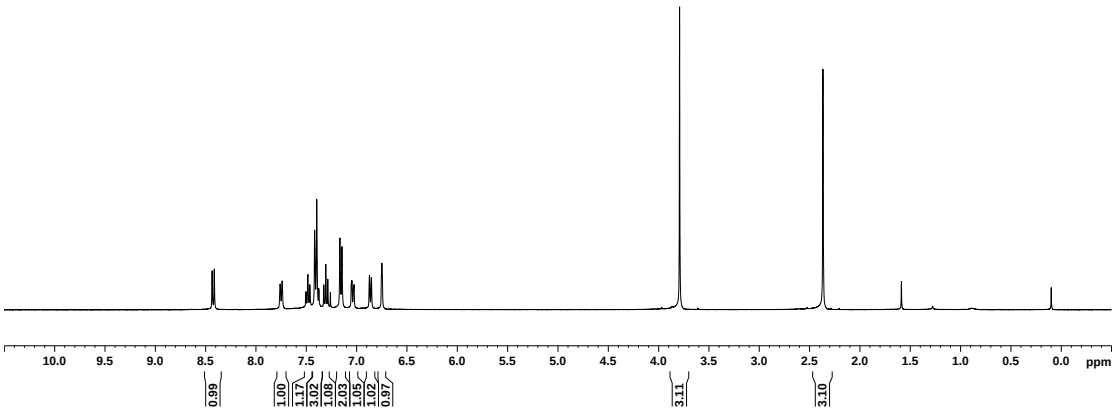
2b ($CDCl_3$, 101 MHz)

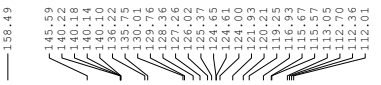


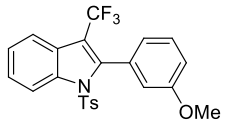




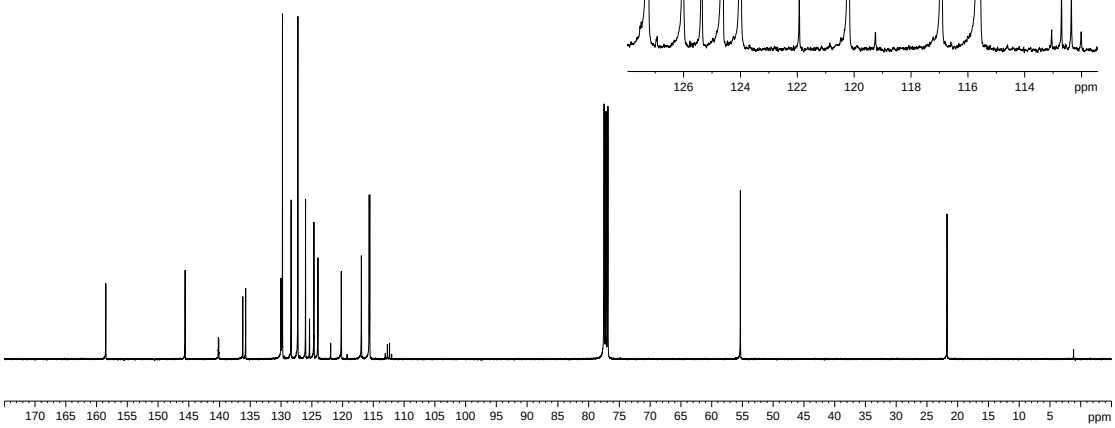
2c ($CDCl_3$, 400 MHz)

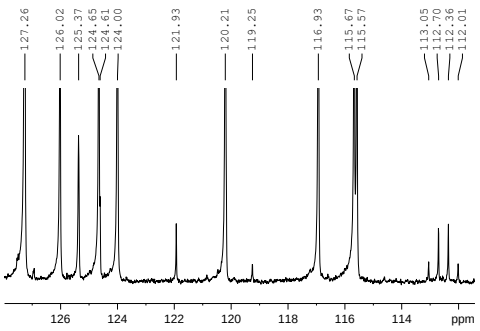


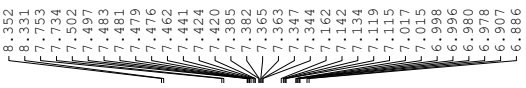


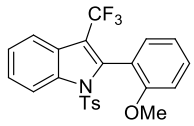


2c ($CDCl_3$, 101 MHz)

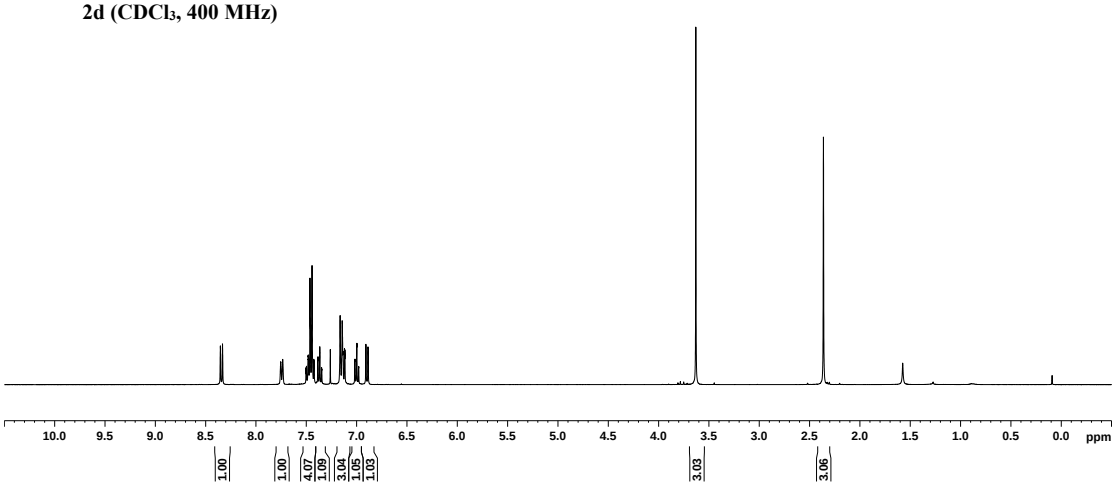


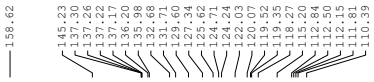


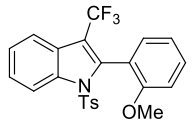




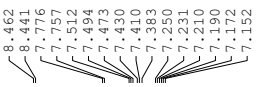
2d (CDCl$_3$, 400 MHz)

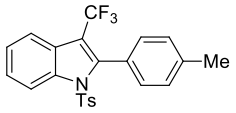




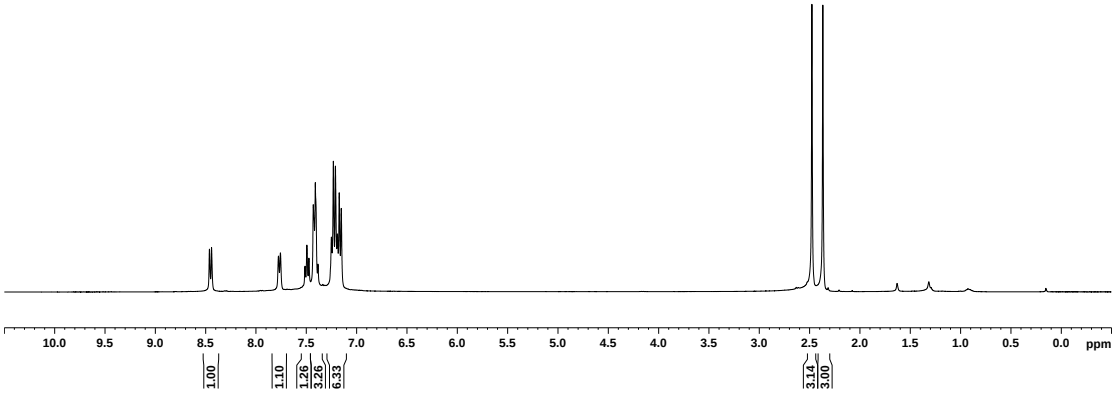


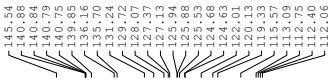
2d (CDCl$_3$, 101 MHz)

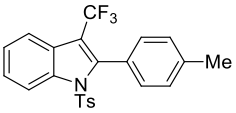




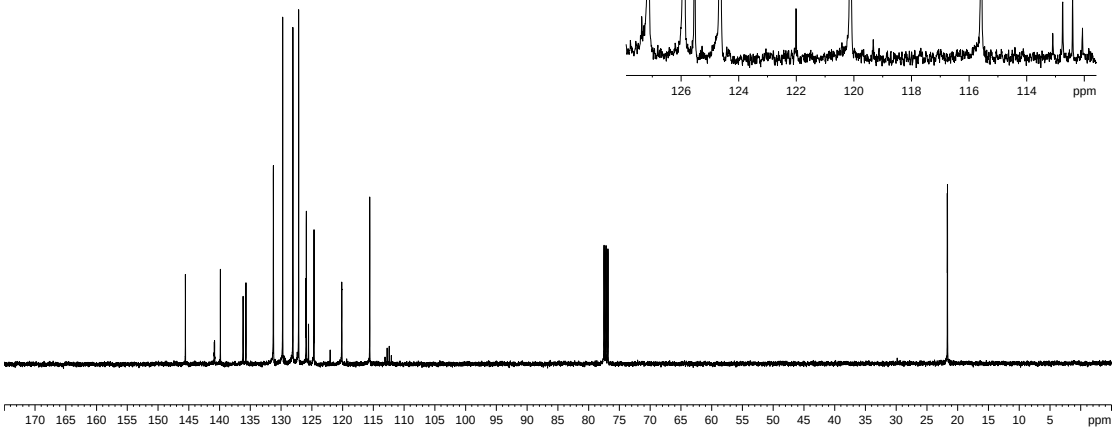
2e ($CDCl_3$, 400 MHz)

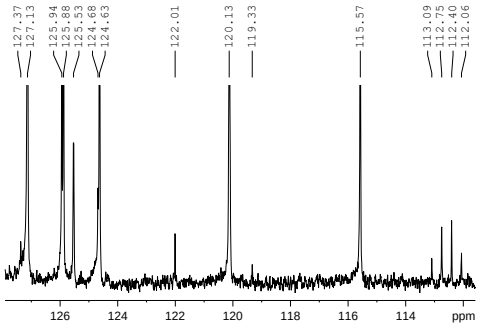


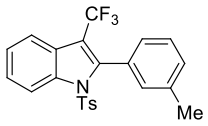




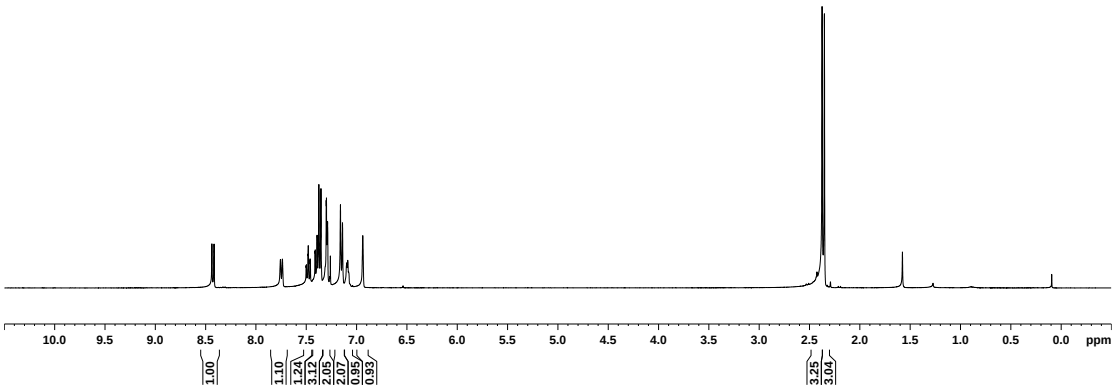
2e ($CDCl_3$, 101 MHz)

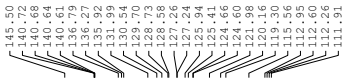


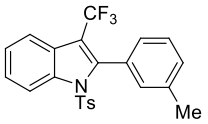




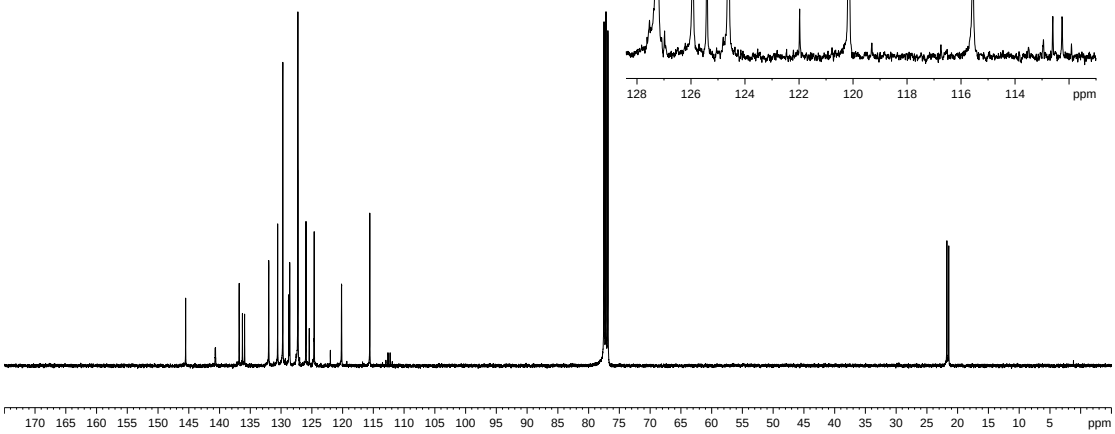
2f (CDCl₃, 400 MHz)

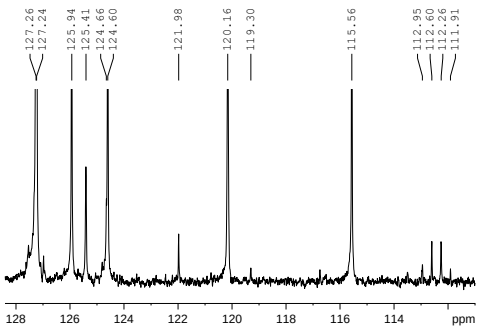


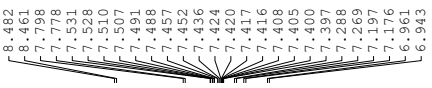


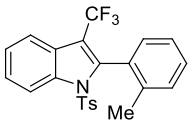


2f (CDCl₃, 101 MHz)

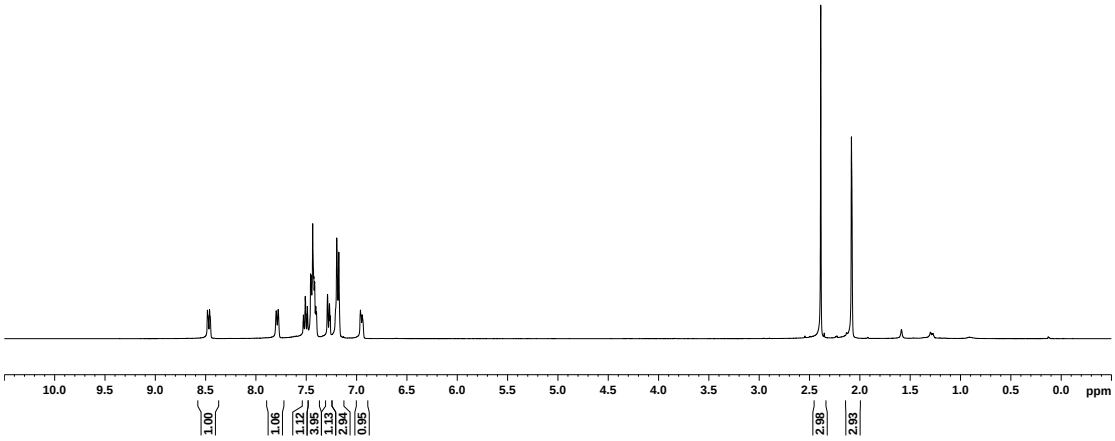


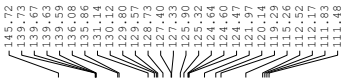


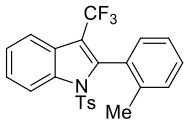




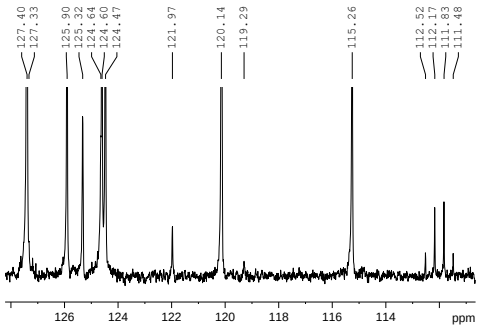
2g (CDCl$_3$, 400 MHz)

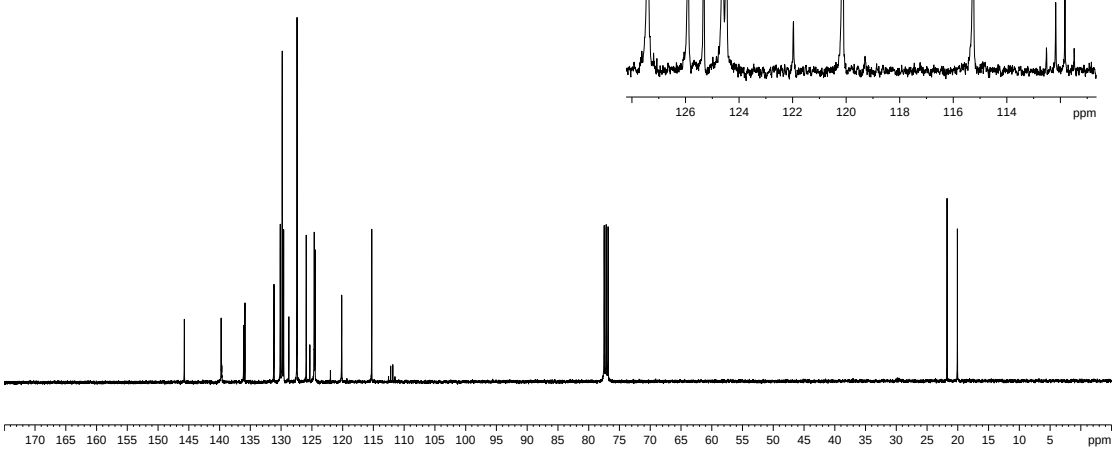


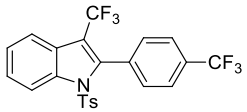




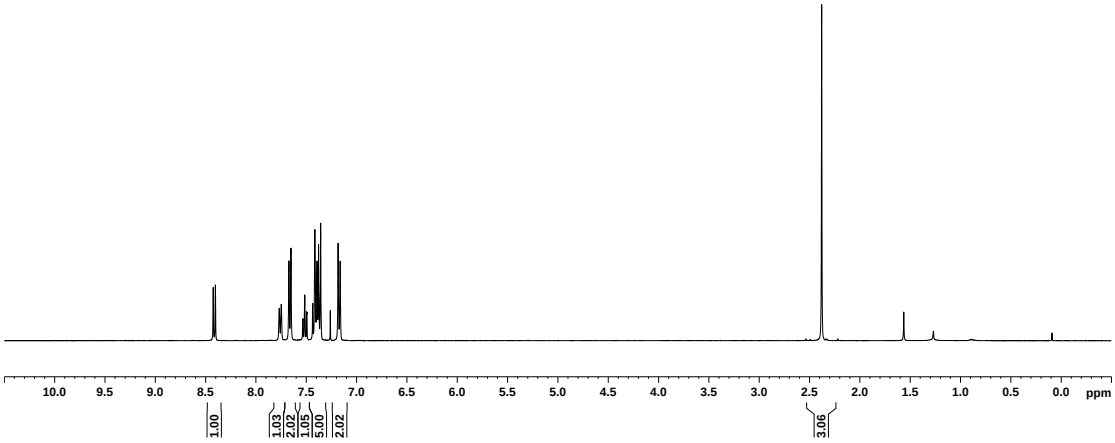
2g (CDCl$_3$, 101 MHz)

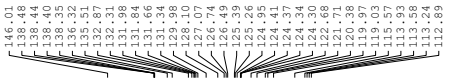


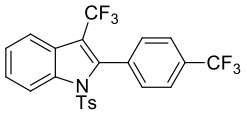




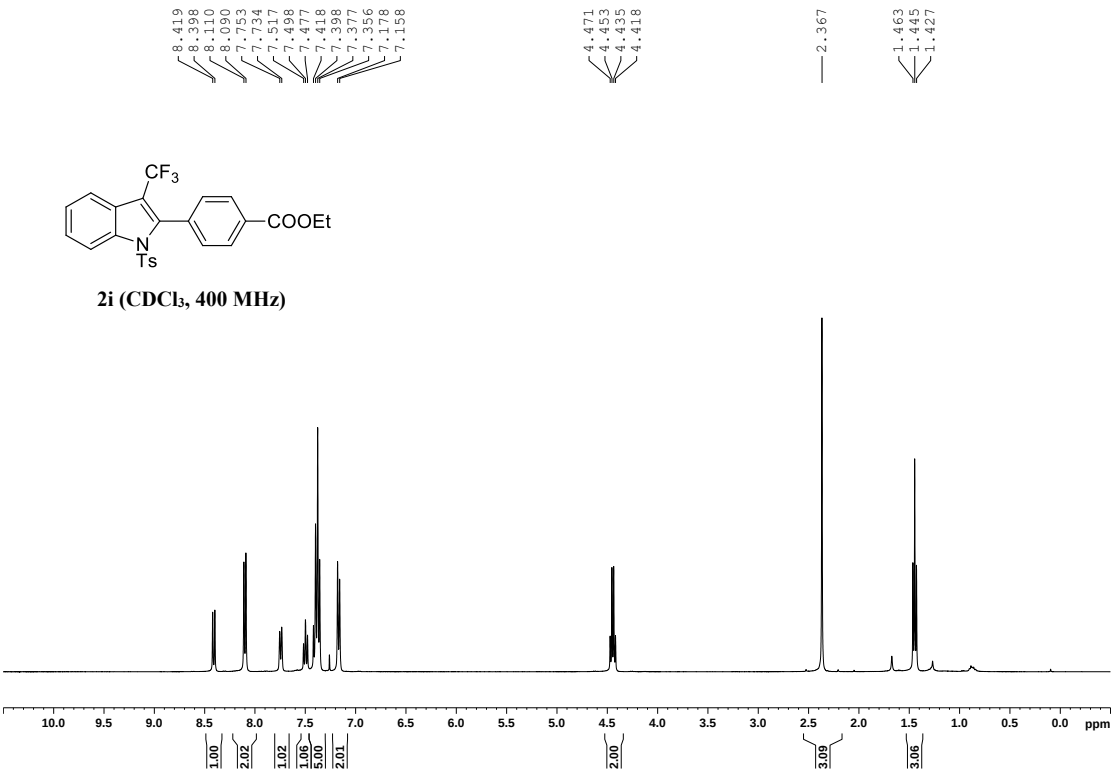
2h (CDCl$_3$, 400 MHz)



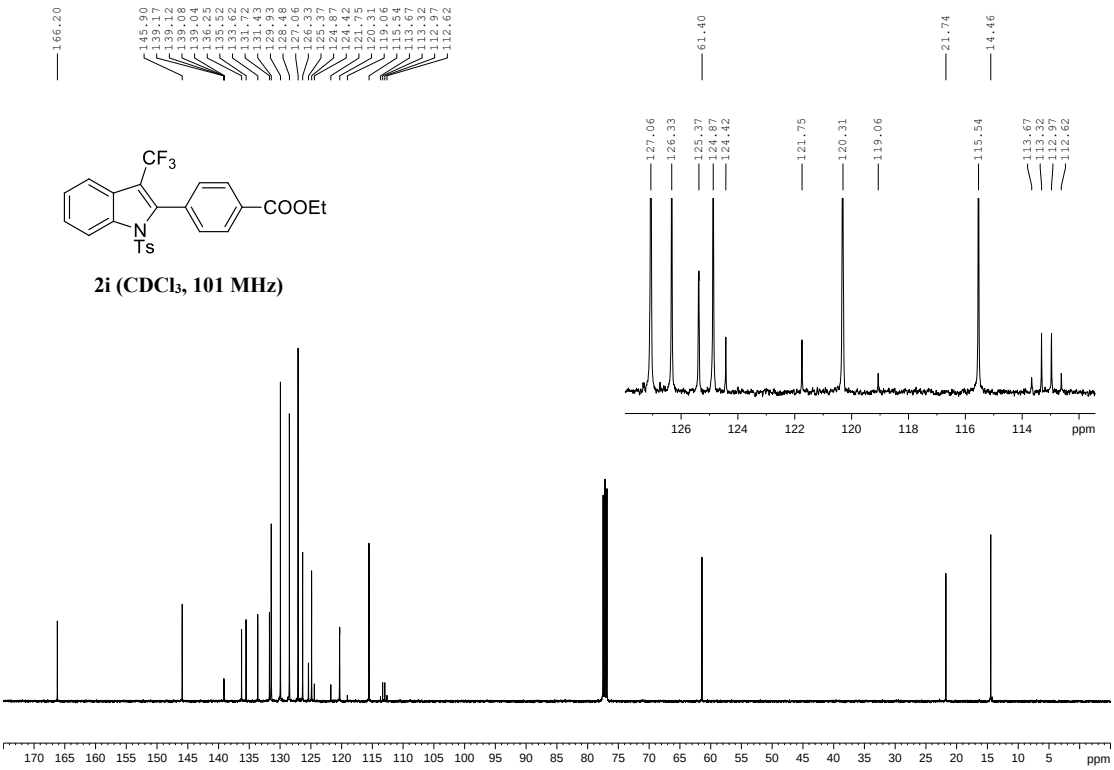




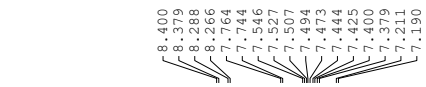
2h (CDCl$_3$, 101 MHz)

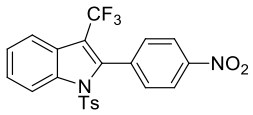


2i (CDCl$_3$, 400 MHz)

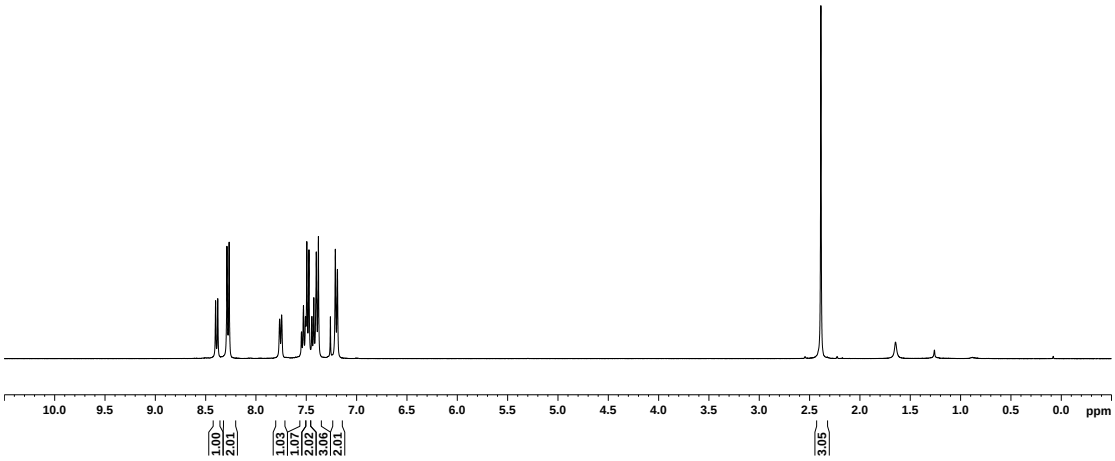


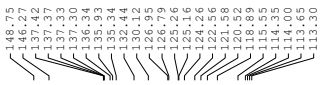
2i (CDCl$_3$, 101 MHz)

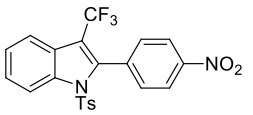




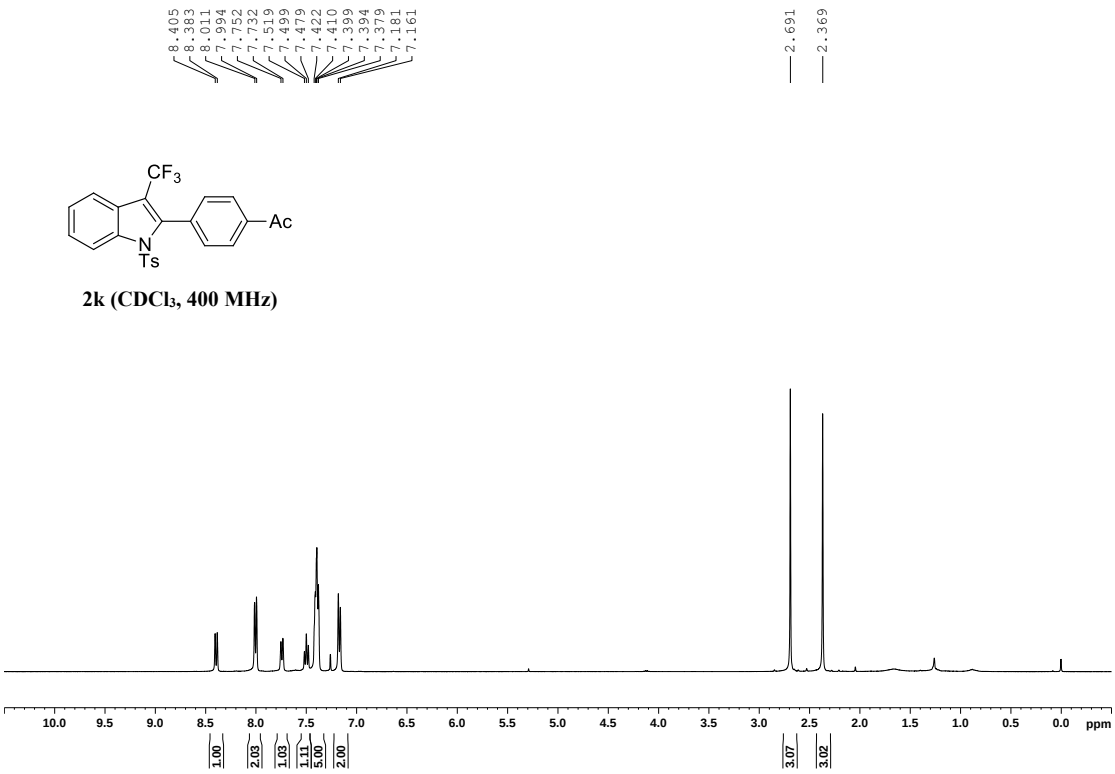
2j (CDCl₃, 400 MHz)



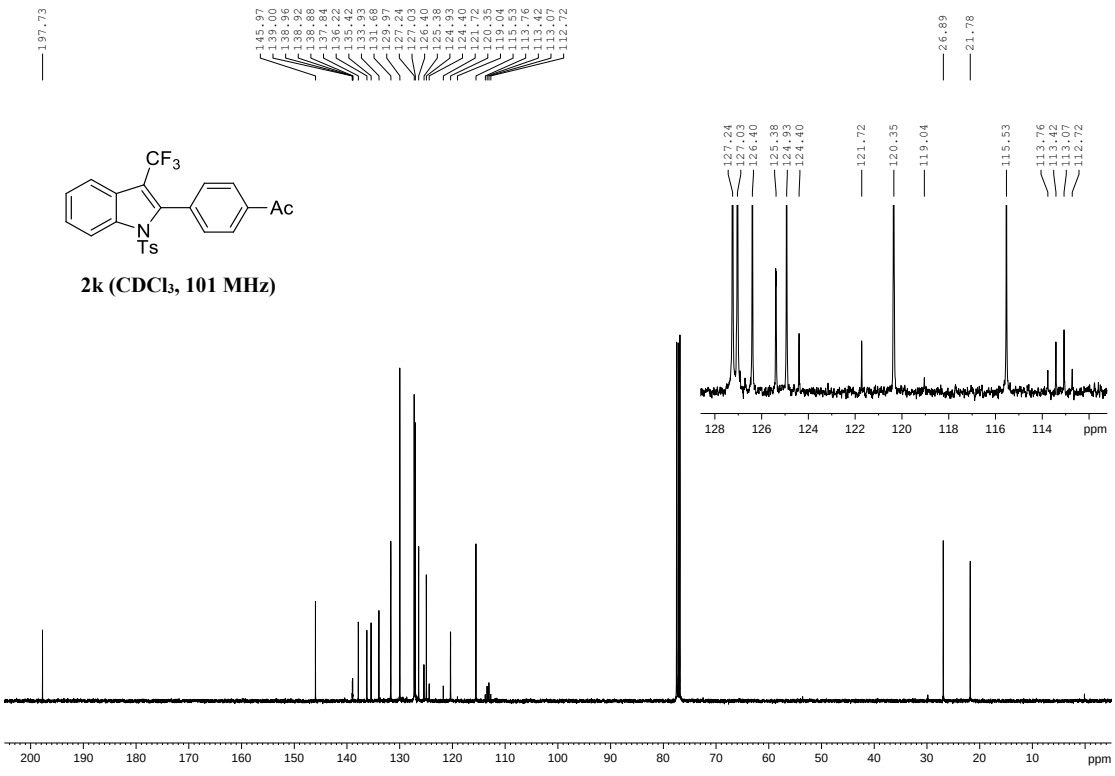




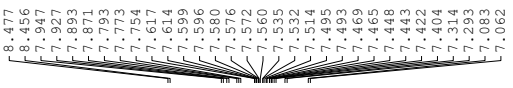
2j (CDCl₃, 101 MHz)

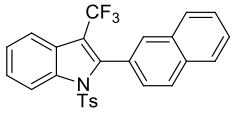


2k (CDCl$_3$, 400 MHz)

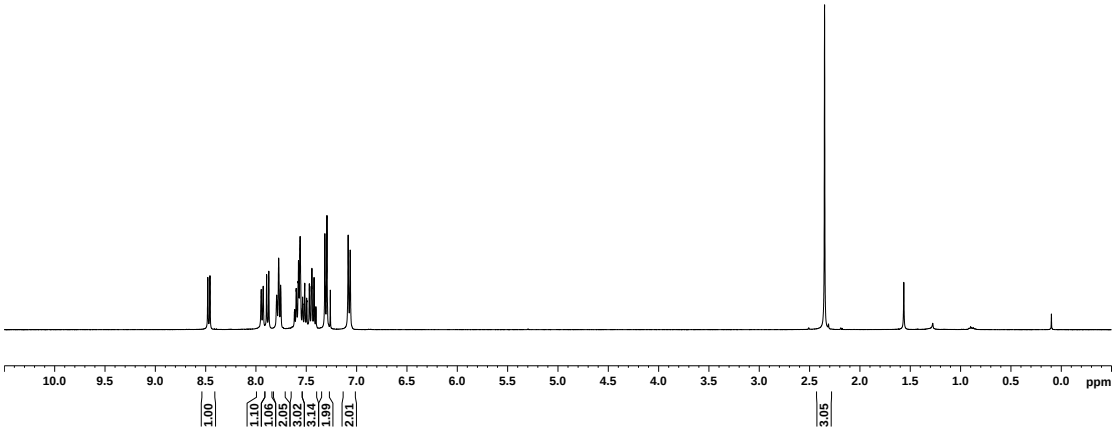


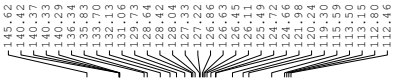
2k (CDCl$_3$, 101 MHz)

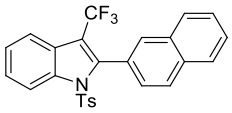




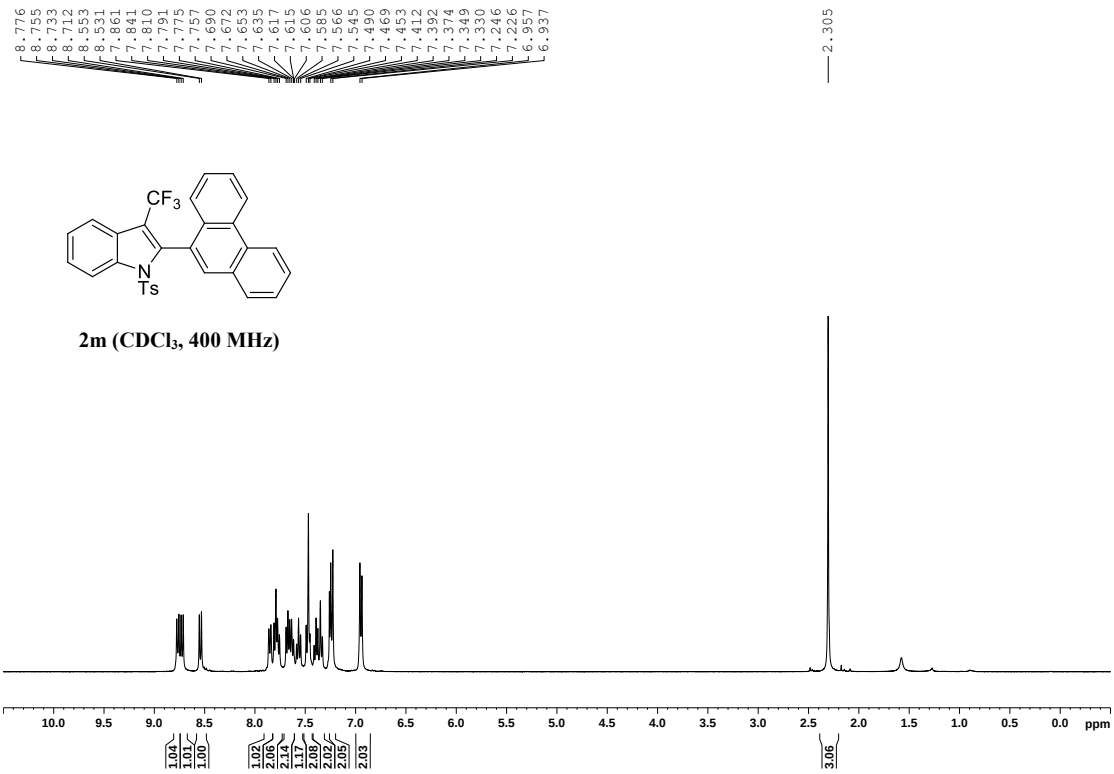
2l (CDCl$_3$, 400 MHz)



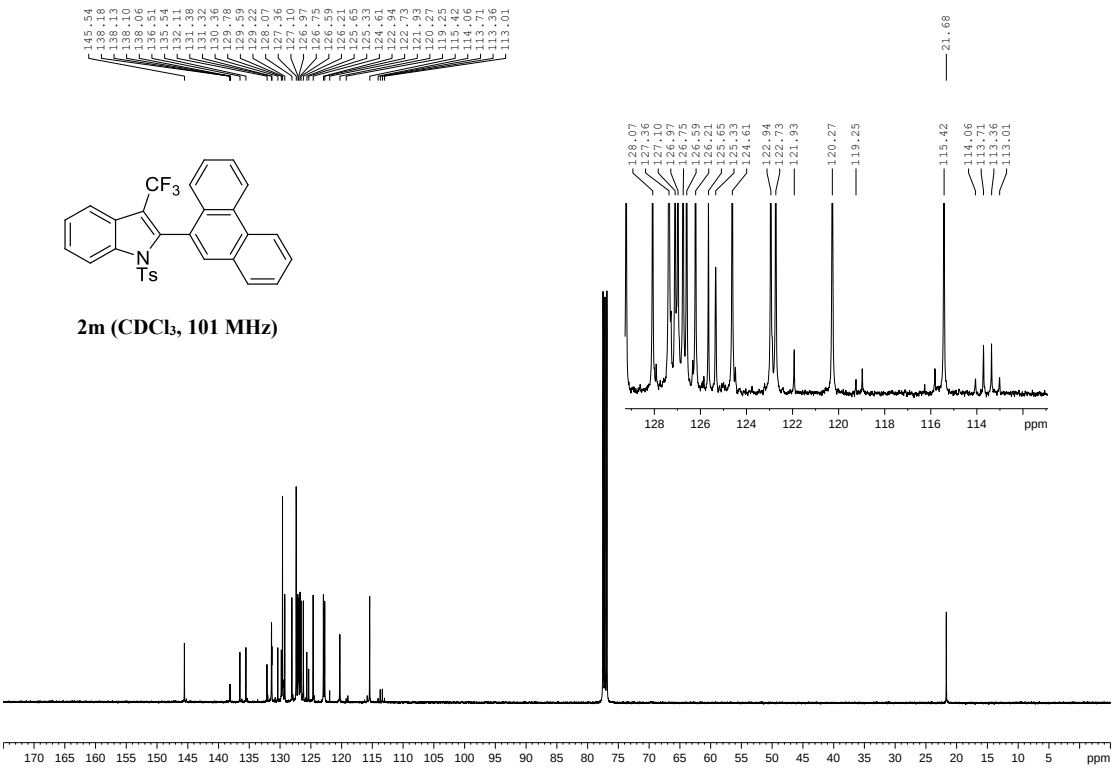




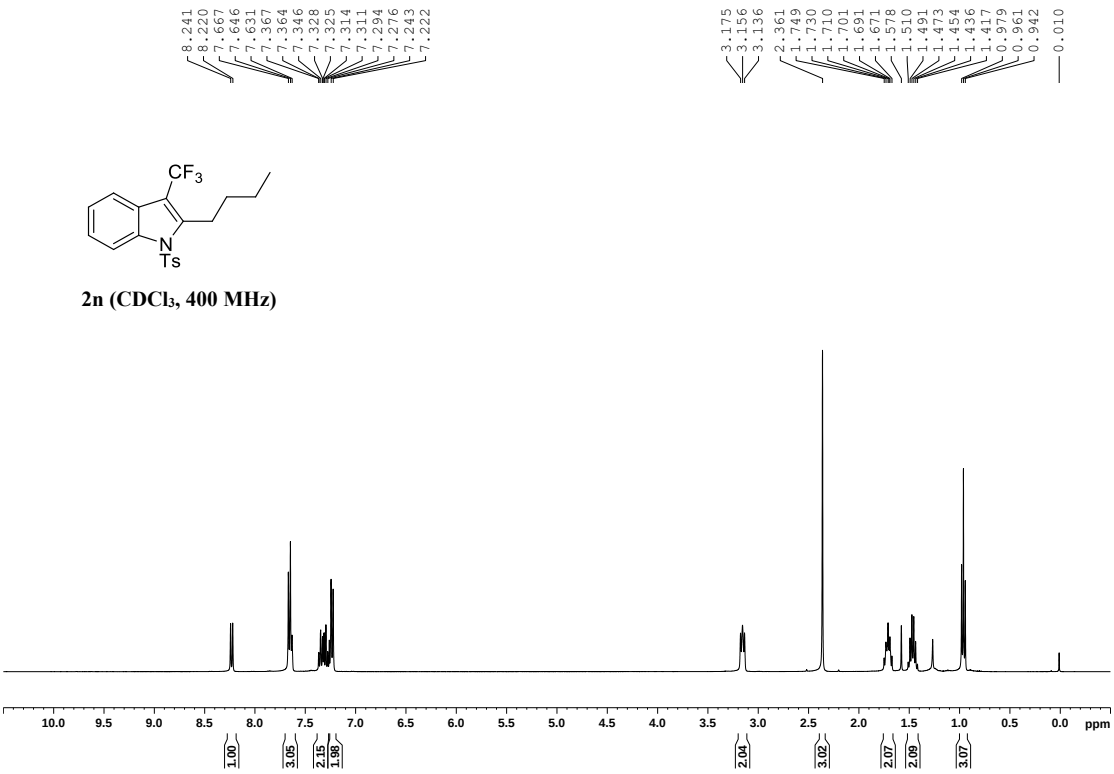
2l (CDCl$_3$, 101 MHz)



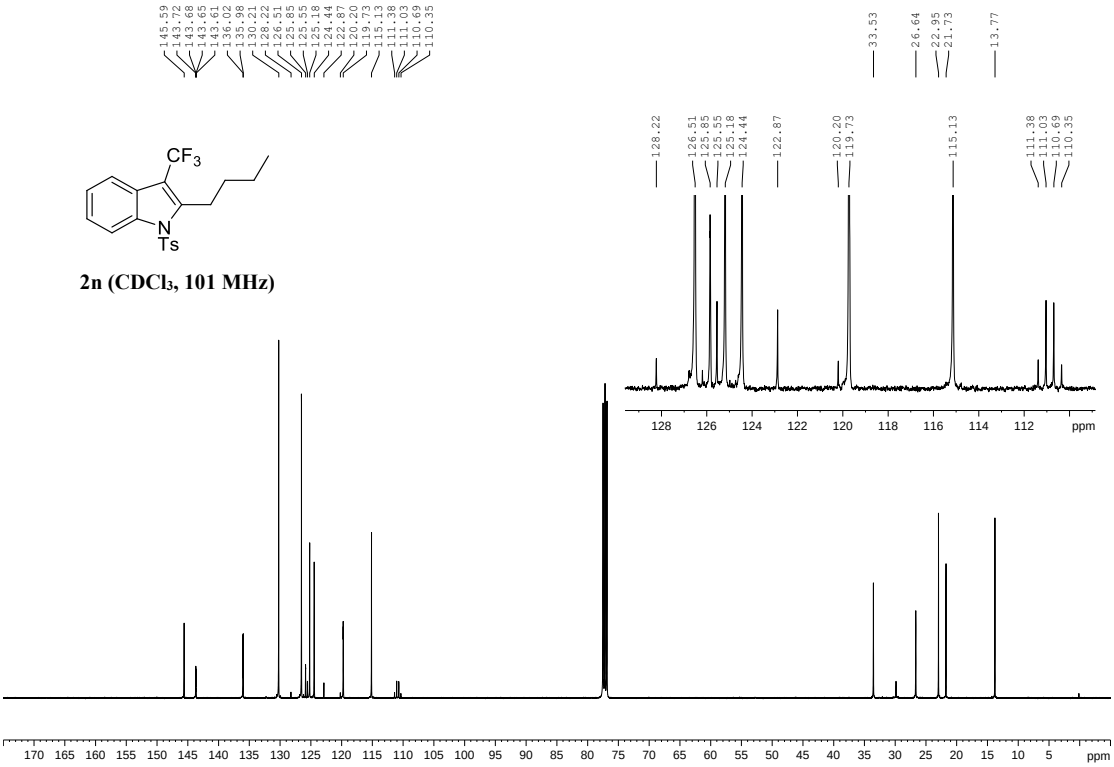
2m ($CDCl_3$, 400 MHz)



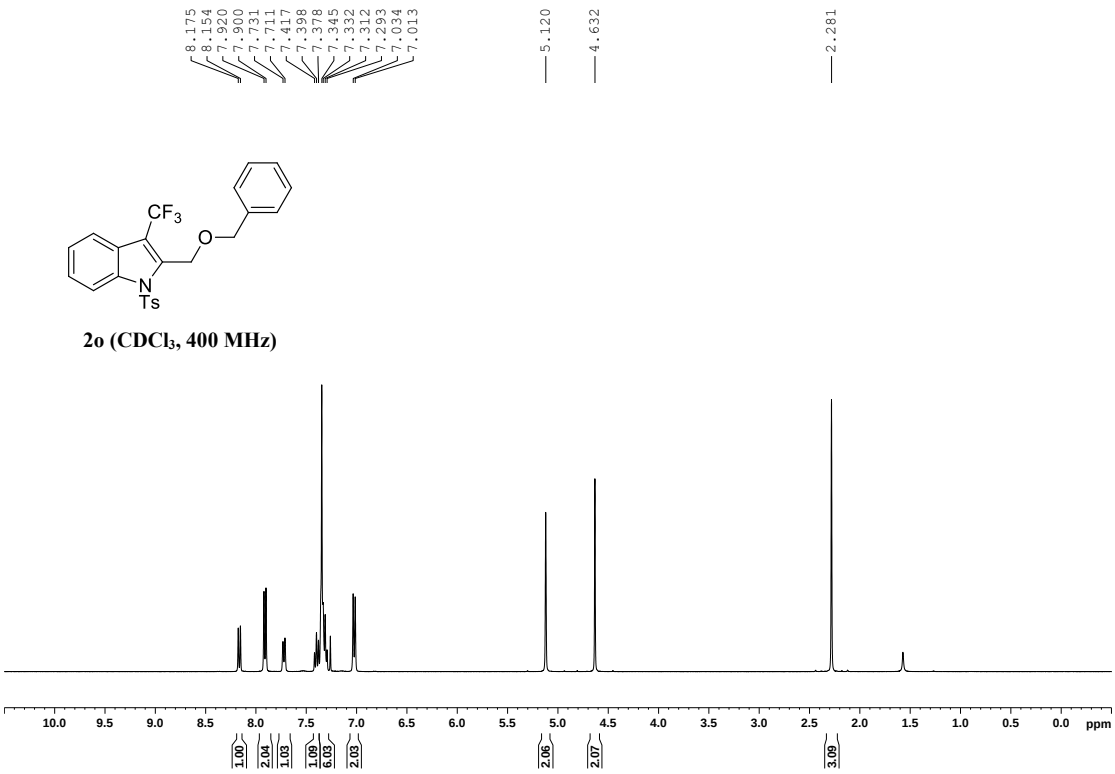
2m ($CDCl_3$, 101 MHz)



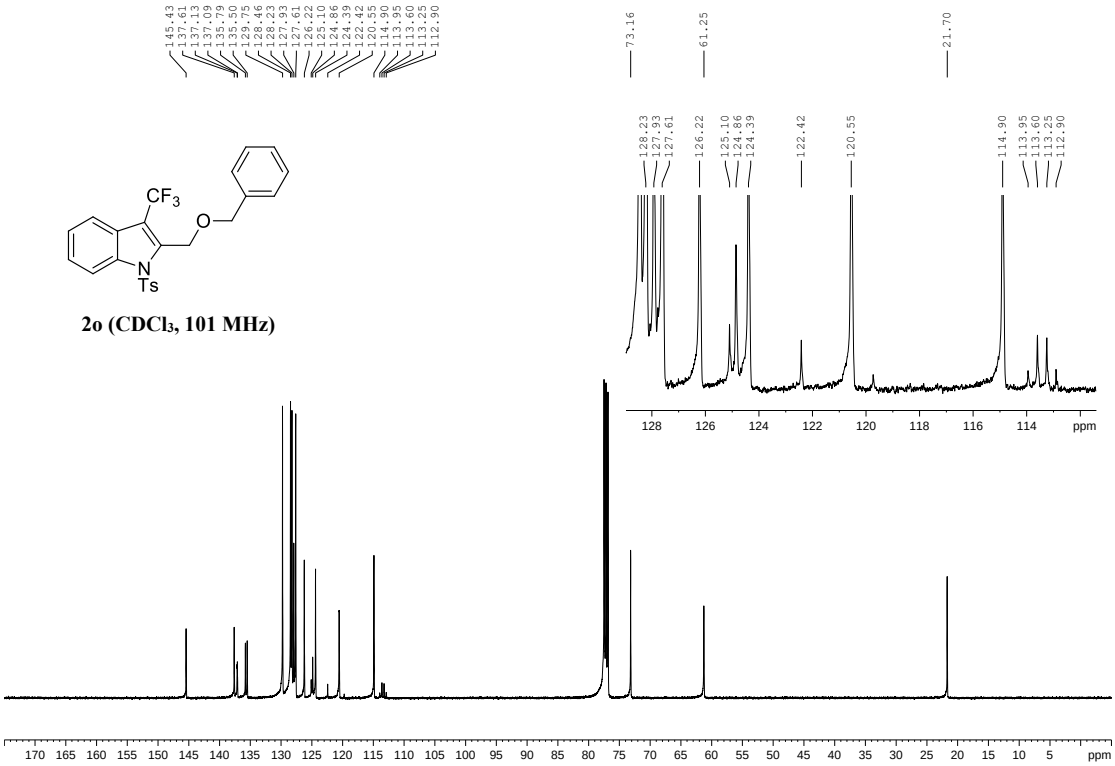
2n (CDCl₃, 400 MHz)



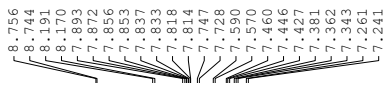
2n (CDCl₃, 101 MHz)

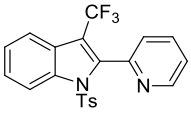


2o ($CDCl_3$, 400 MHz)

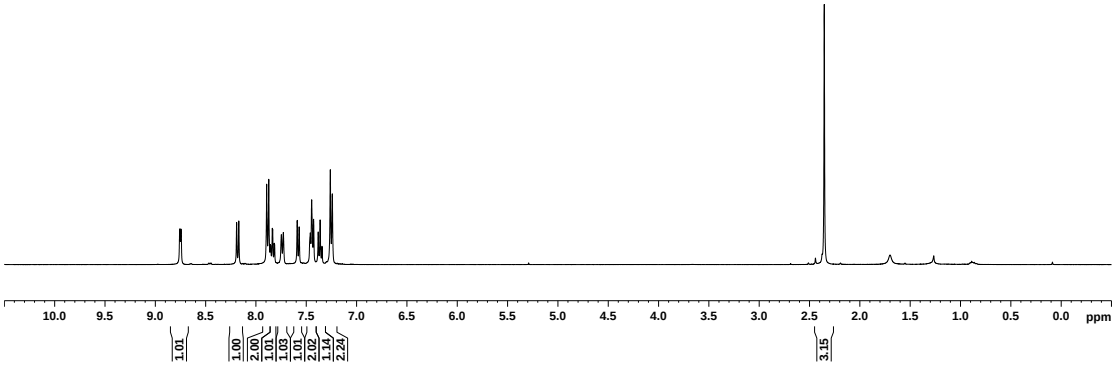


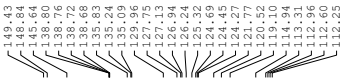
2o ($CDCl_3$, 101 MHz)

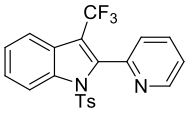




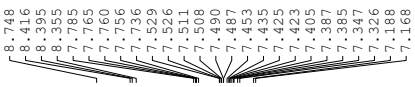
2p (CDCl$_3$, 400 MHz)

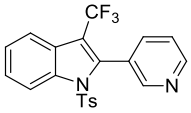




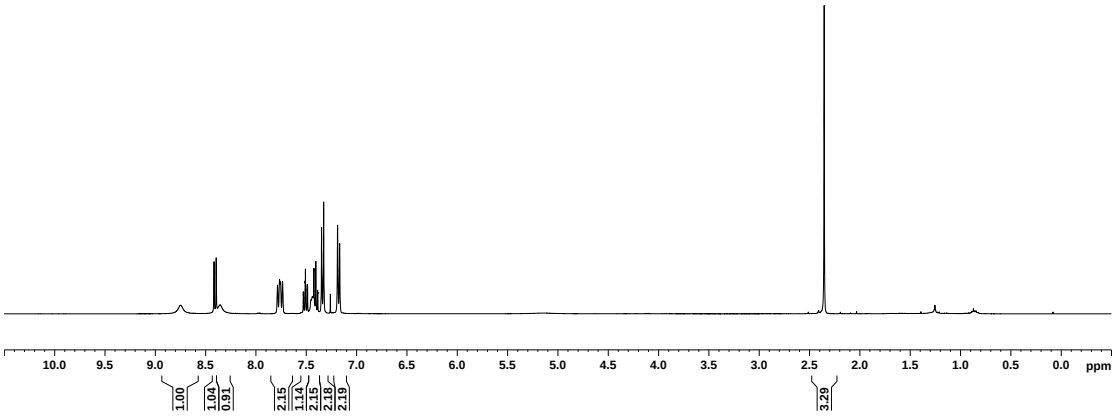


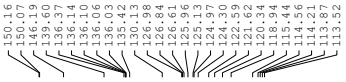
2p (CDCl$_3$, 101 MHz)

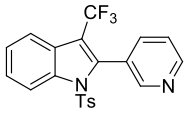




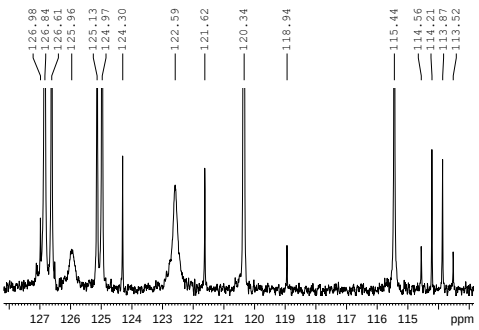
2q (CDCl$_3$, 400 MHz)

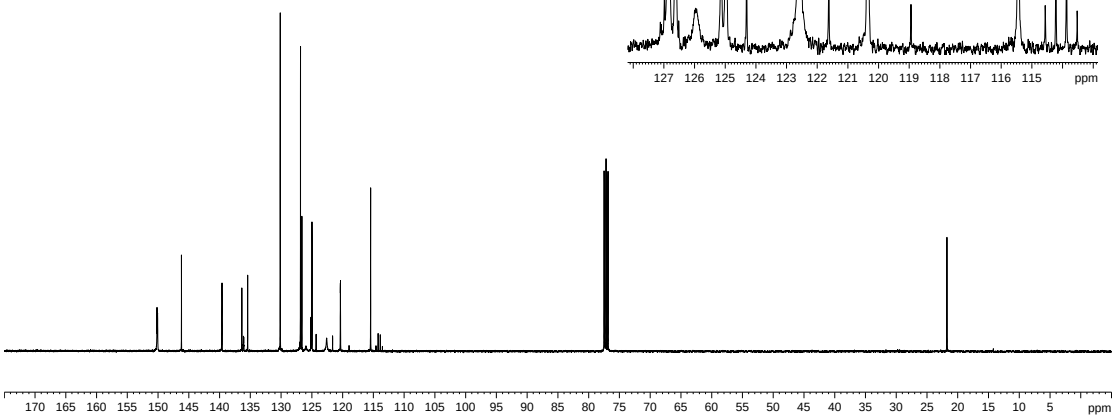


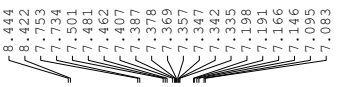


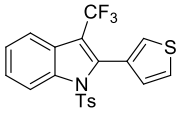


2q (CDCl$_3$, 101 MHz)

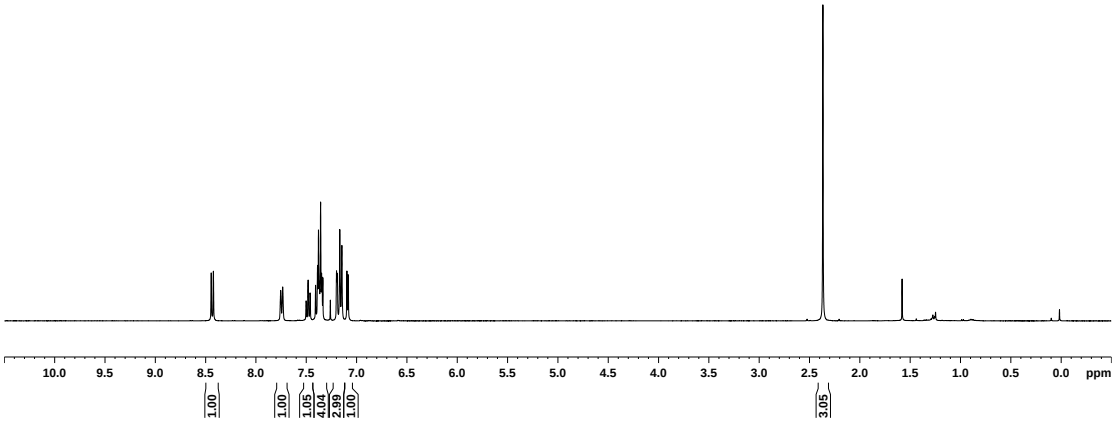


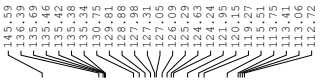


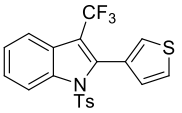




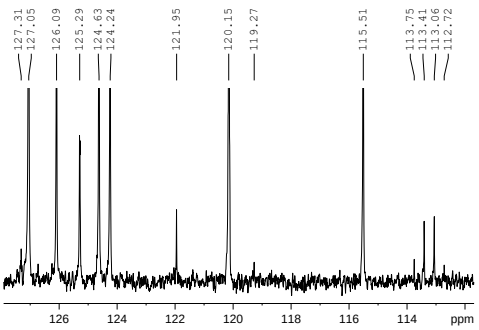
2r (CDCl$_3$, 400 MHz)

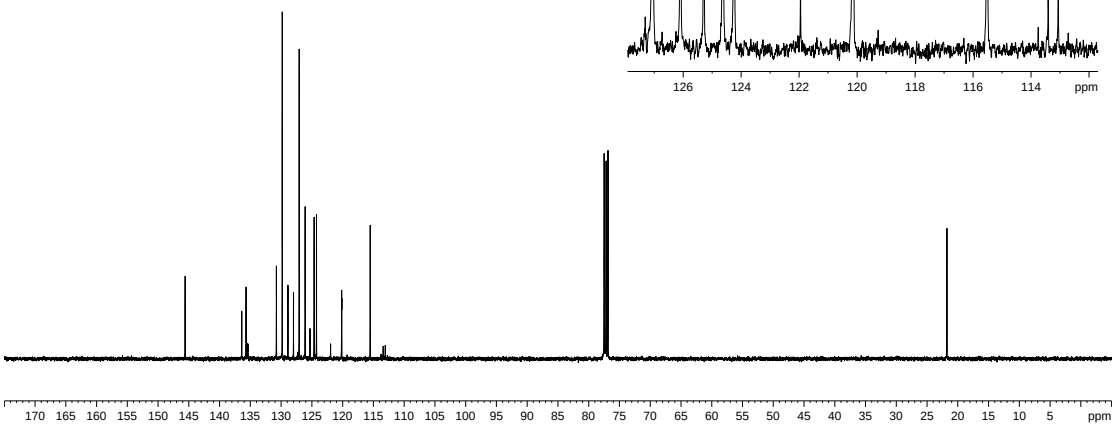


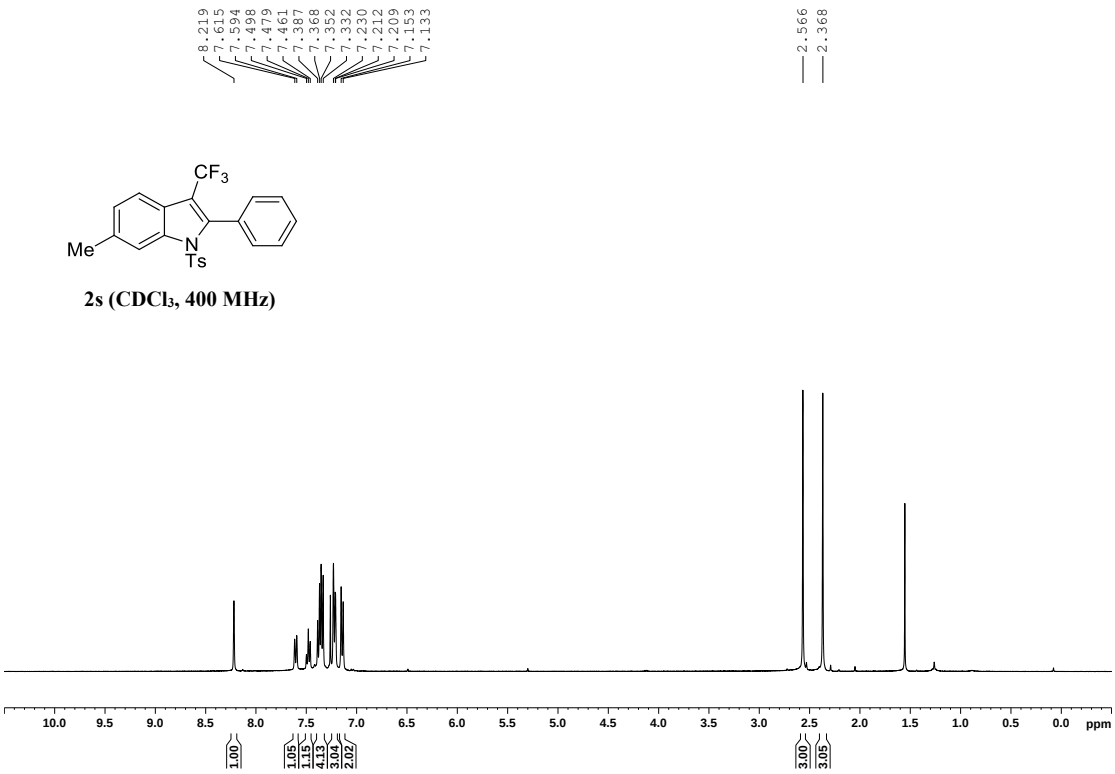




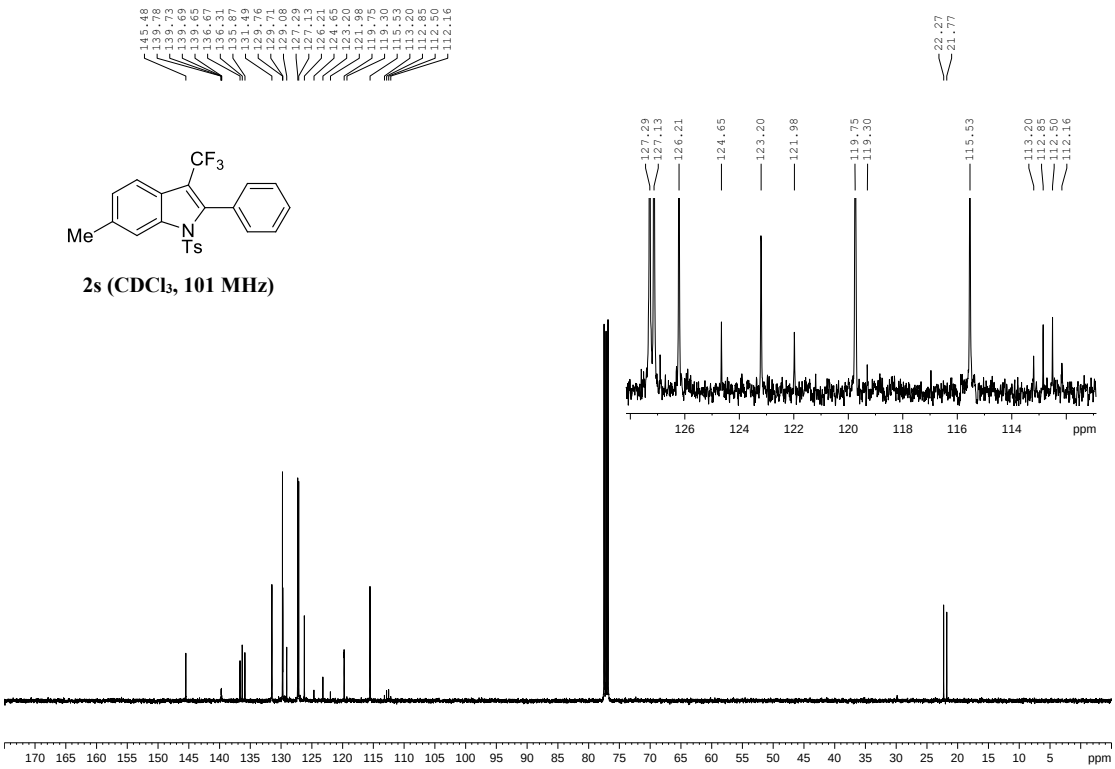
2r (CDCl$_3$, 101 MHz)



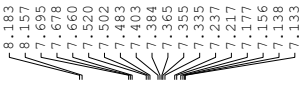


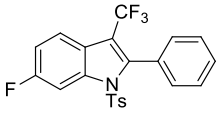


2s (CDCl$_3$, 400 MHz)

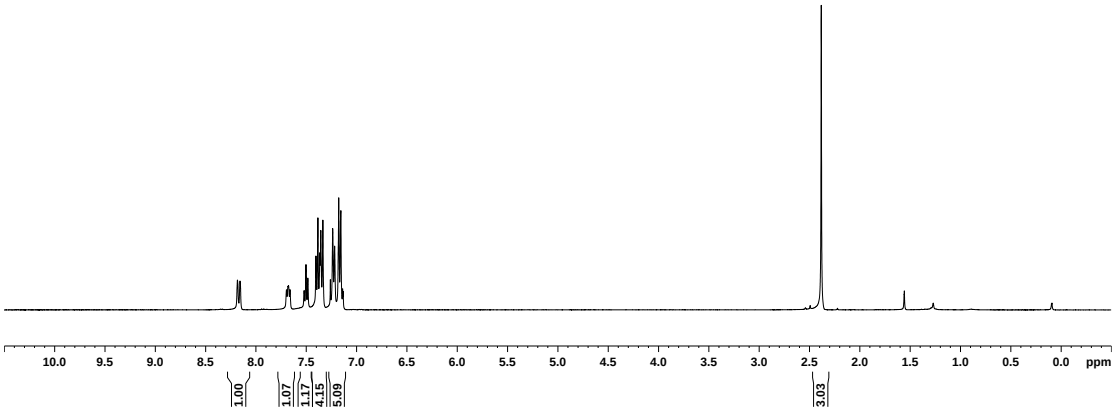


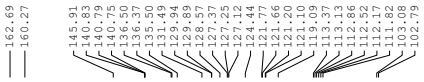
2s (CDCl$_3$, 101 MHz)

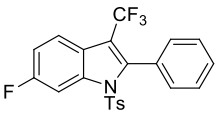




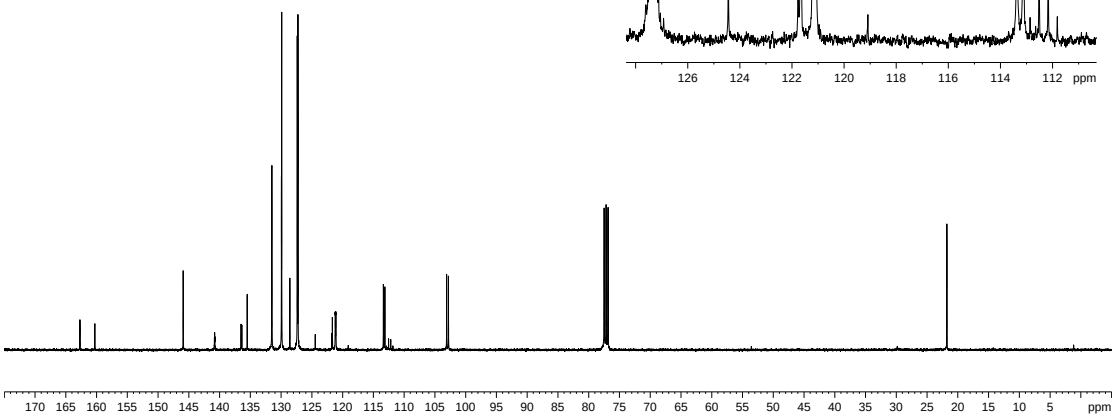
2t (CDCl₃, 400 MHz)

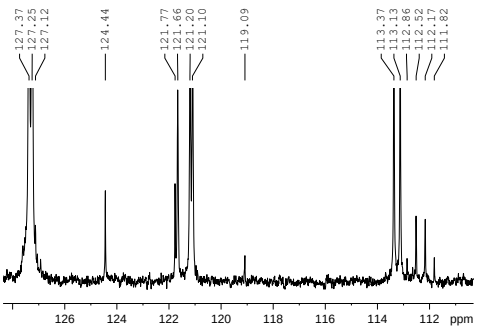


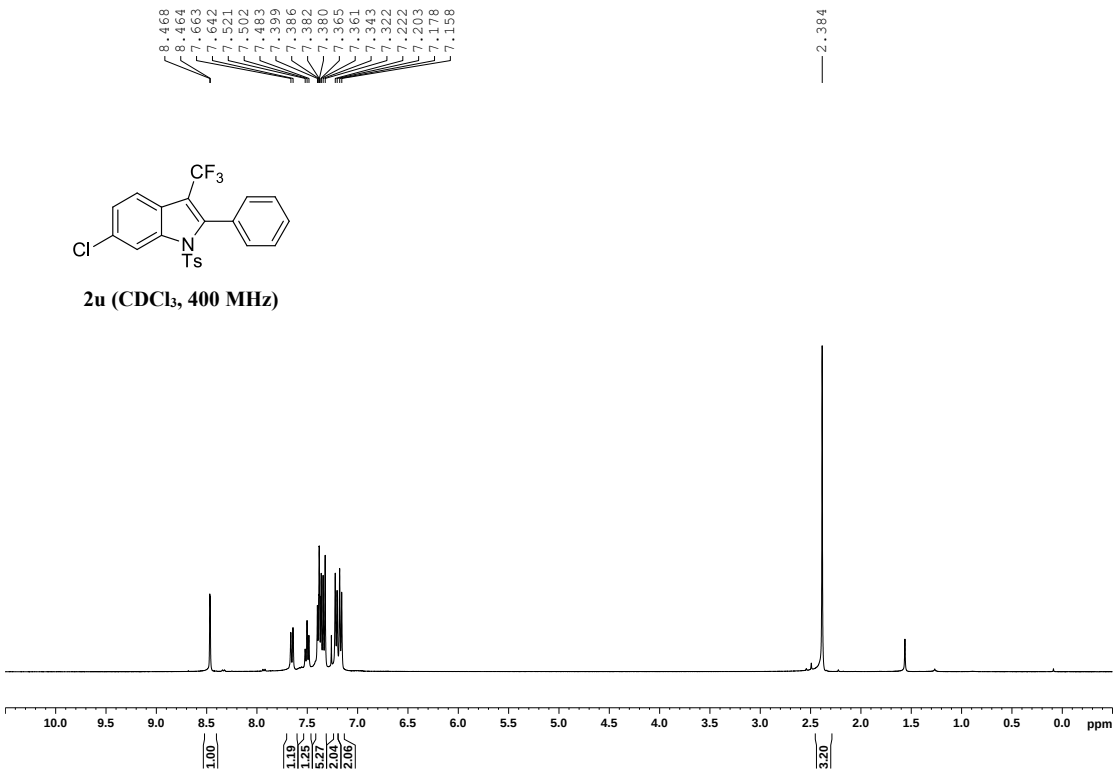




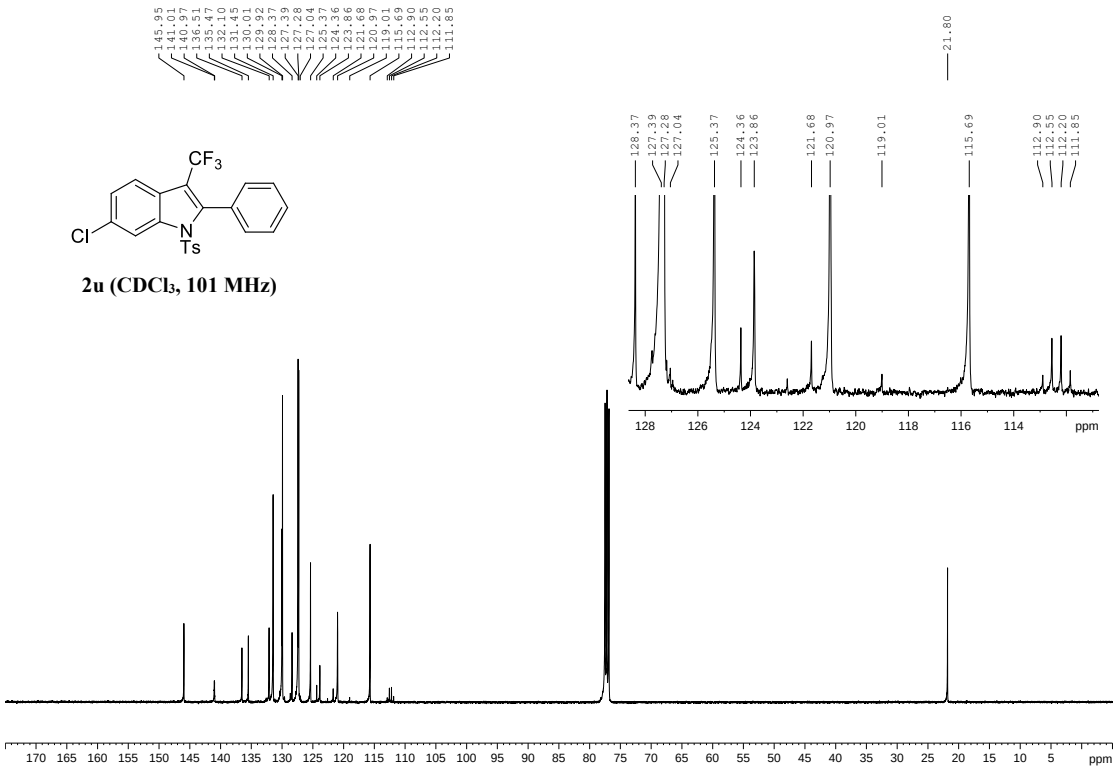
2t (CDCl₃, 101 MHz)



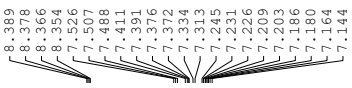


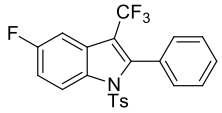


2u (CDCl$_3$, 400 MHz)

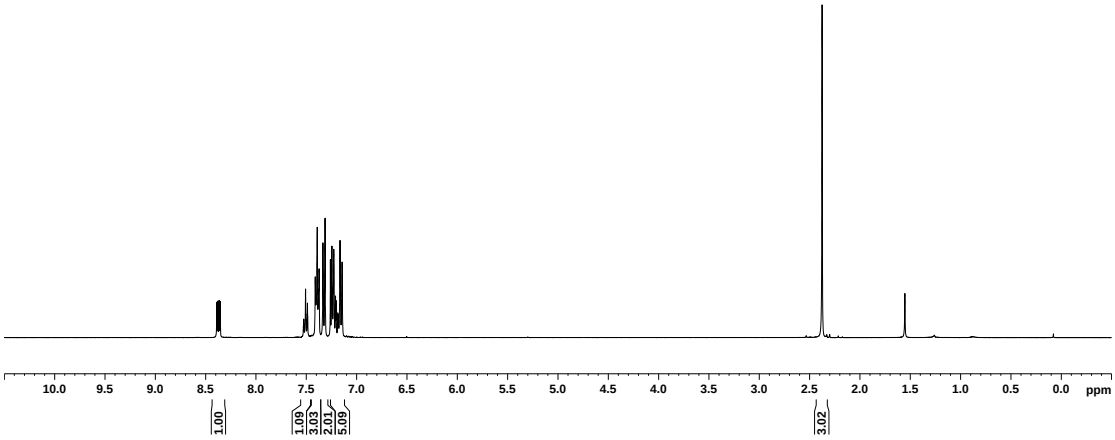


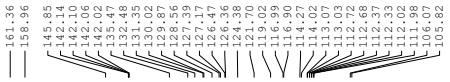
2u (CDCl$_3$, 101 MHz)

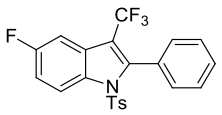




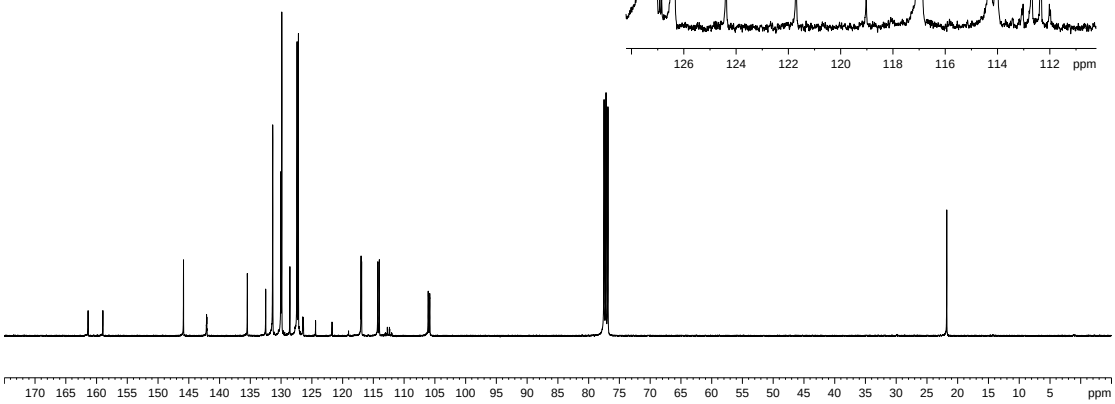
2v ($CDCl_3$, 400 MHz)

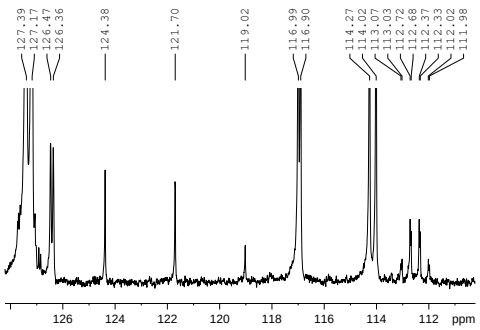


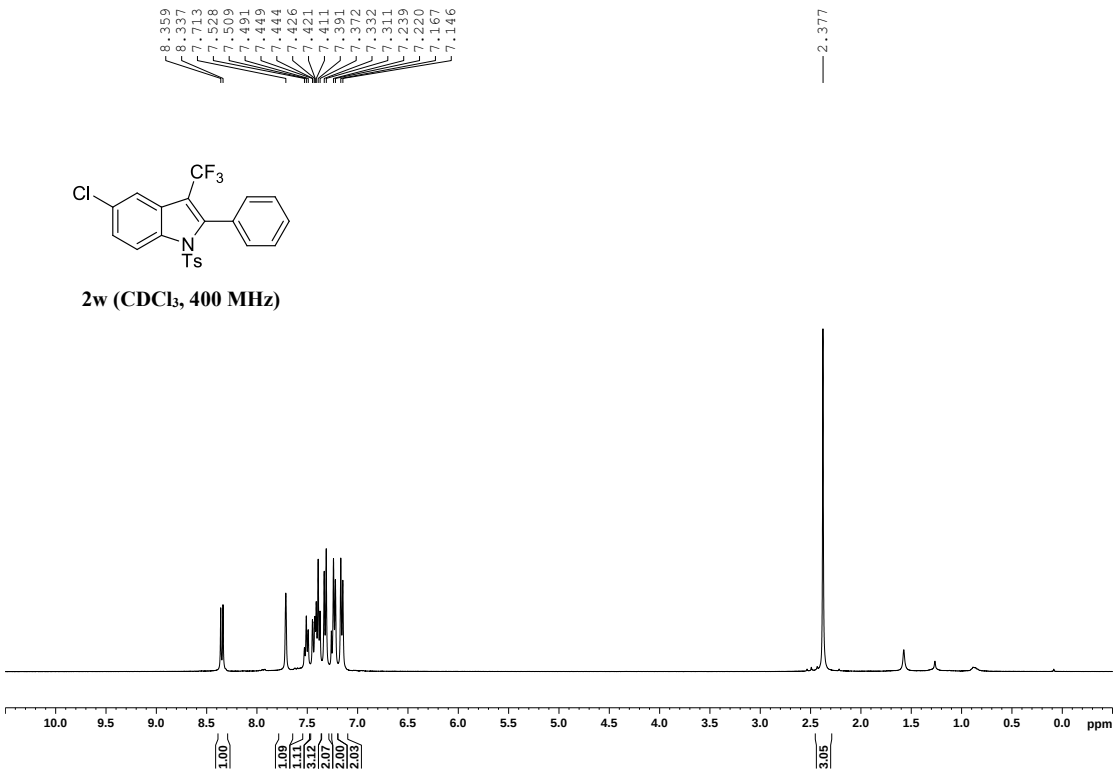




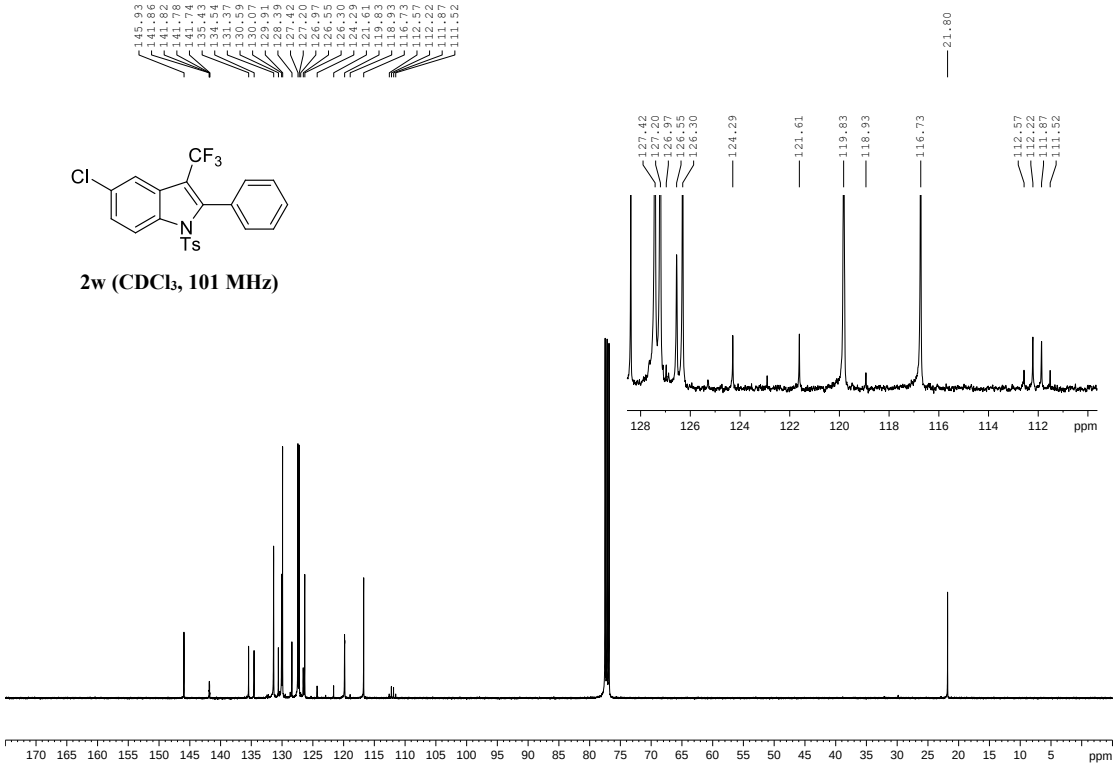
2v ($CDCl_3$, 101 MHz)



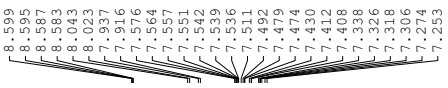


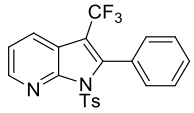


2w (CDCl$_3$, 400 MHz)

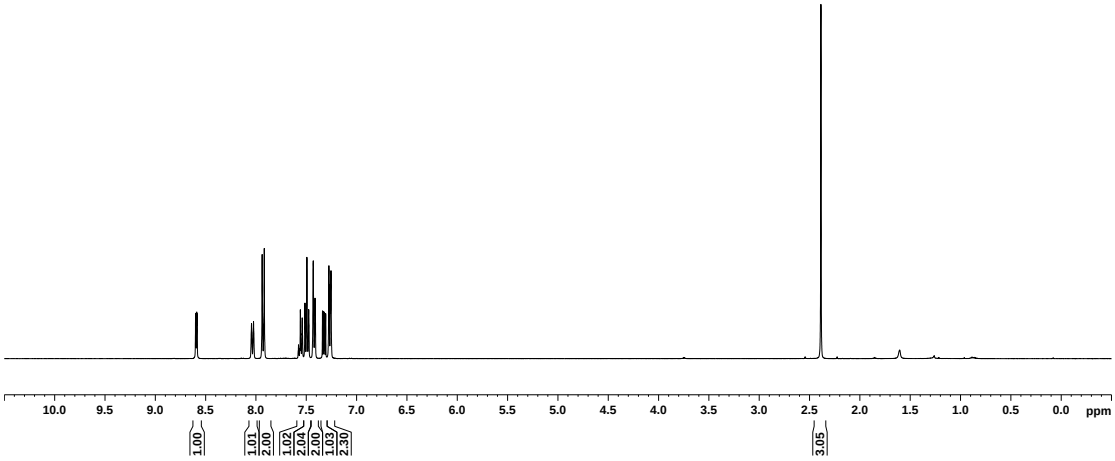


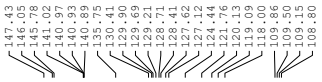
2w (CDCl$_3$, 101 MHz)

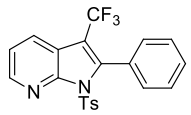




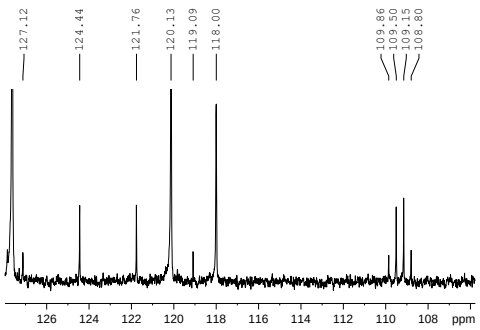
2x ($CDCl_3$, 400 MHz)

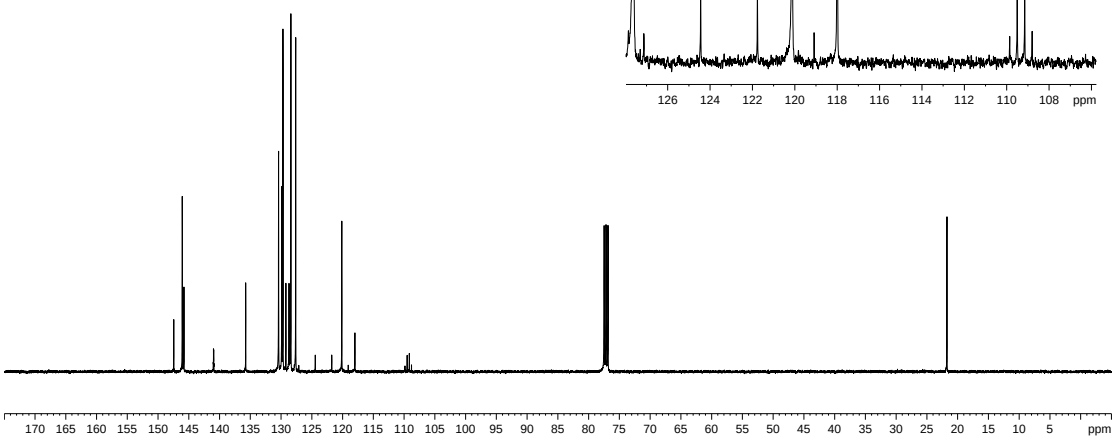


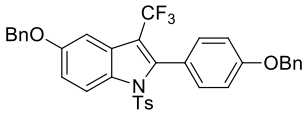




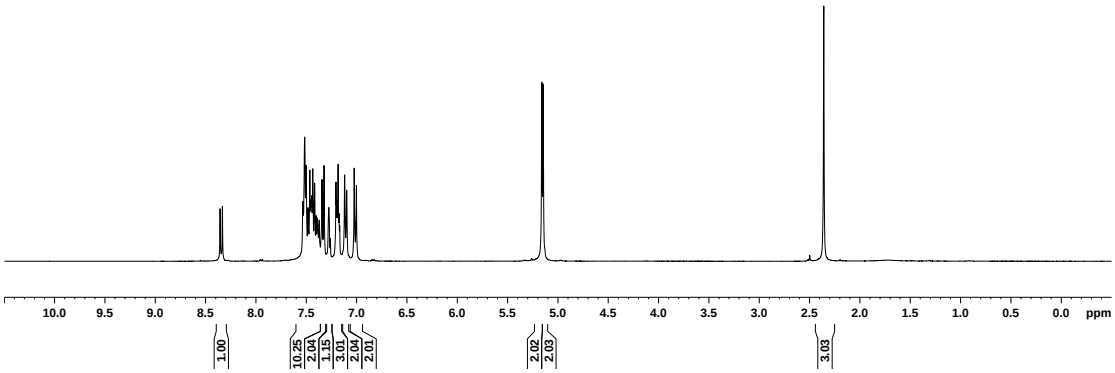
2x ($CDCl_3$, 101 MHz)

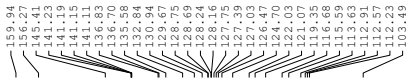


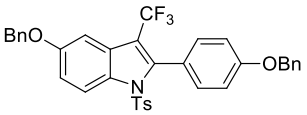




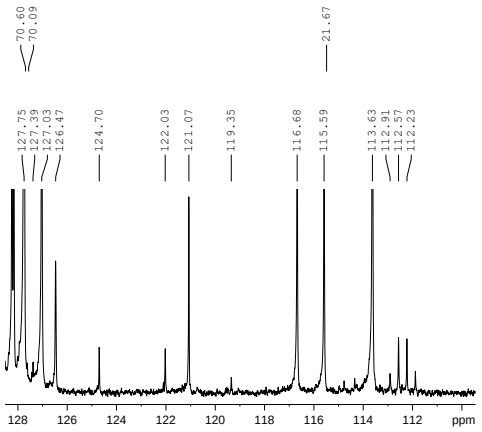
2y (CDCl₃, 400 MHz)

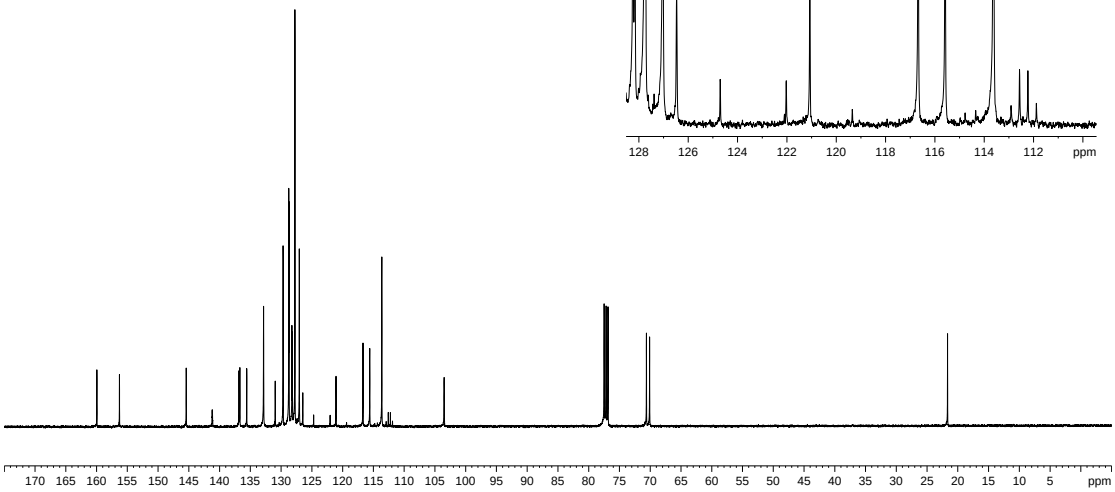


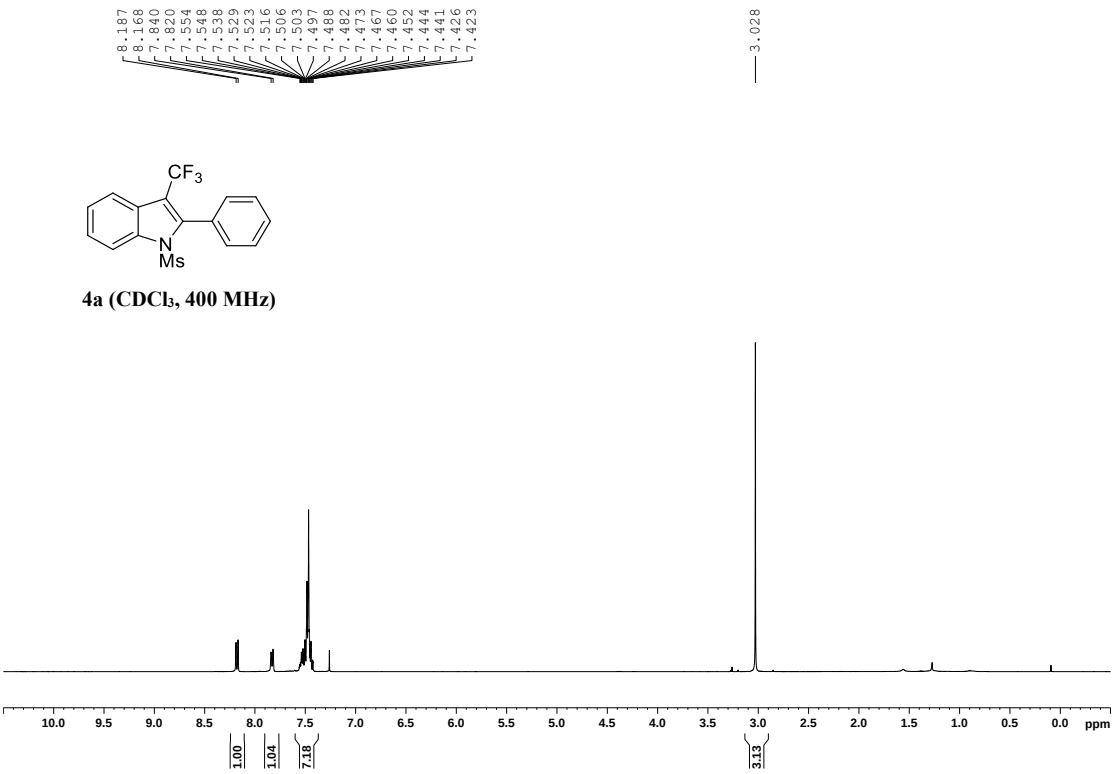




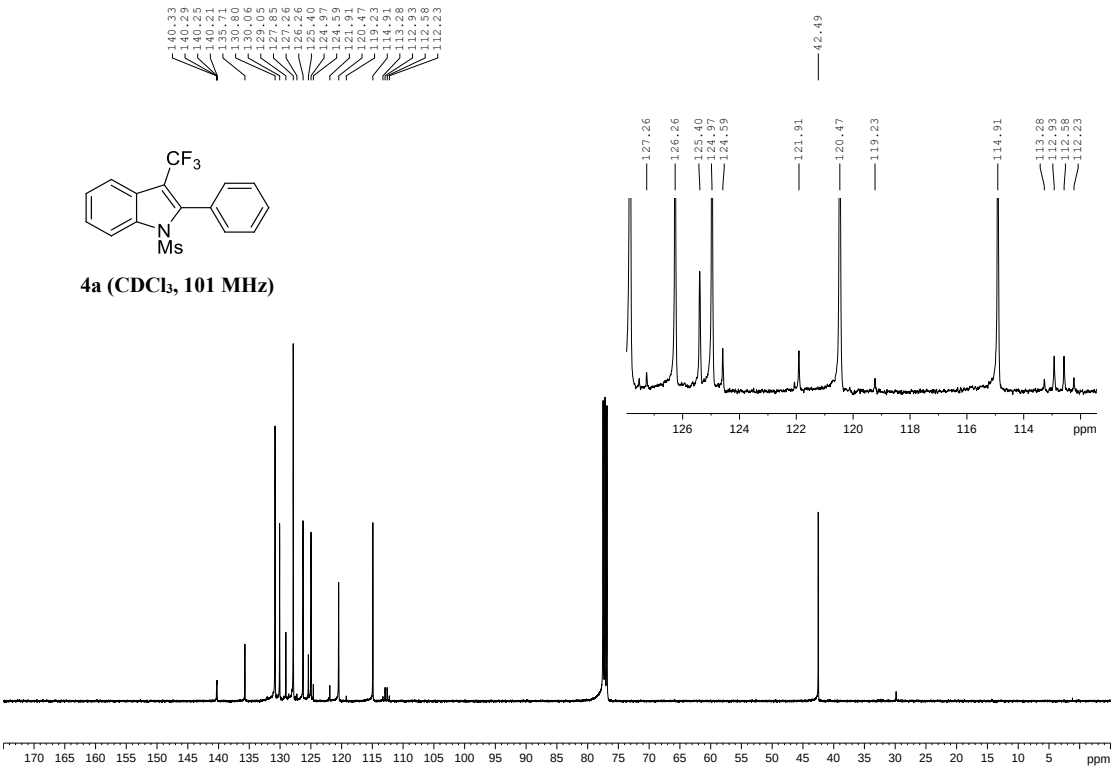
2y (CDCl₃, 101 MHz)



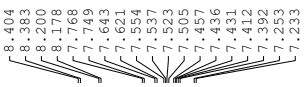


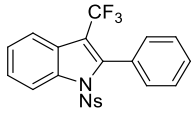


4a (CDCl$_3$, 400 MHz)

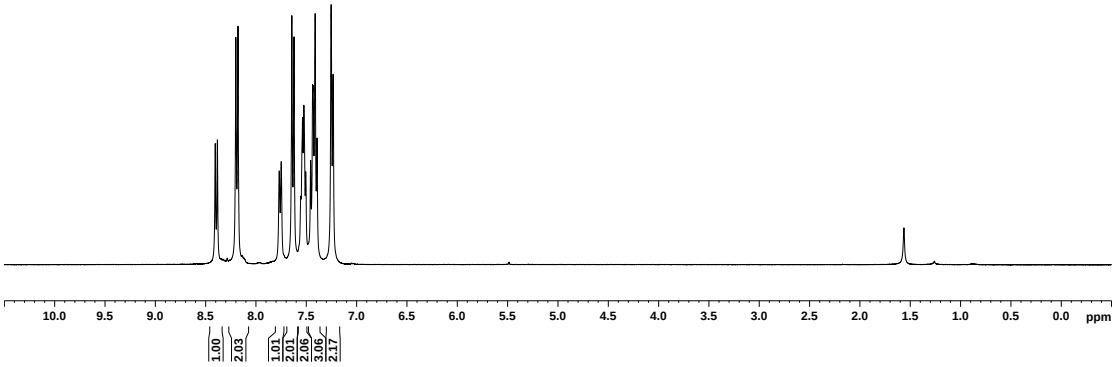


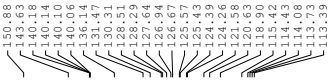
4a (CDCl$_3$, 101 MHz)

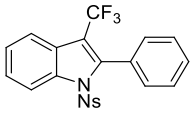




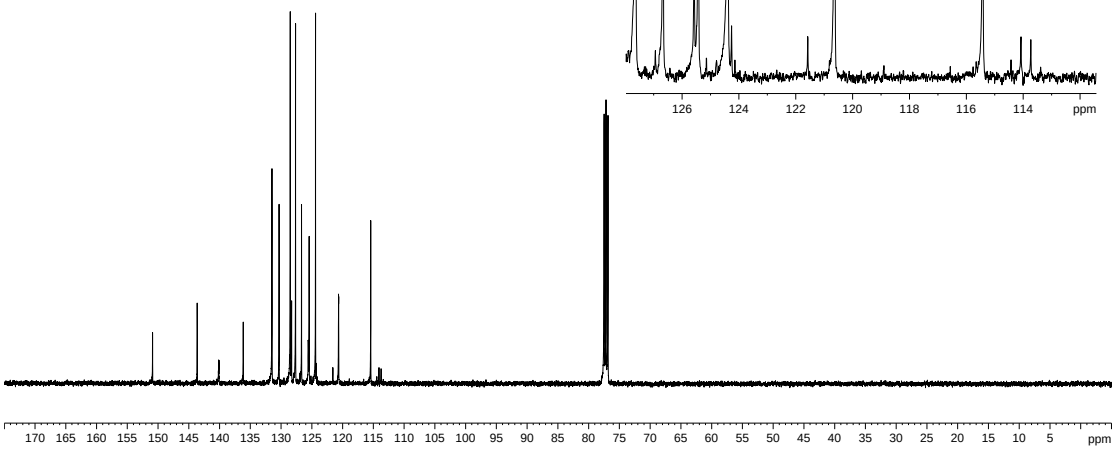
4b ($CDCl_3$, 400 MHz)

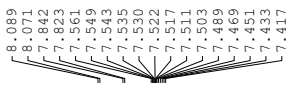


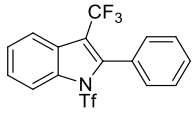




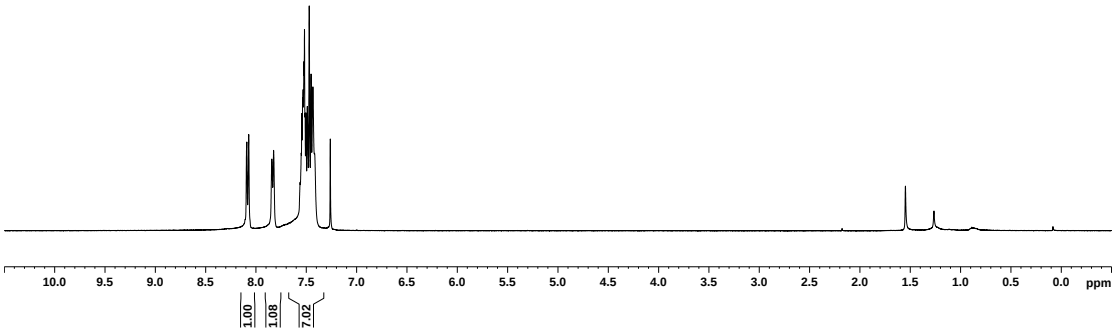
4b ($CDCl_3$, 101 MHz)

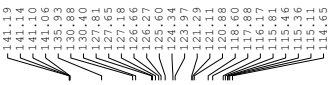


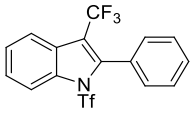




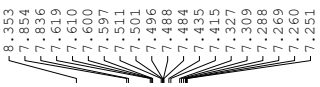
4c ($CDCl_3$, 400 MHz)

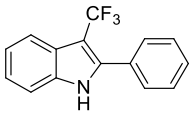




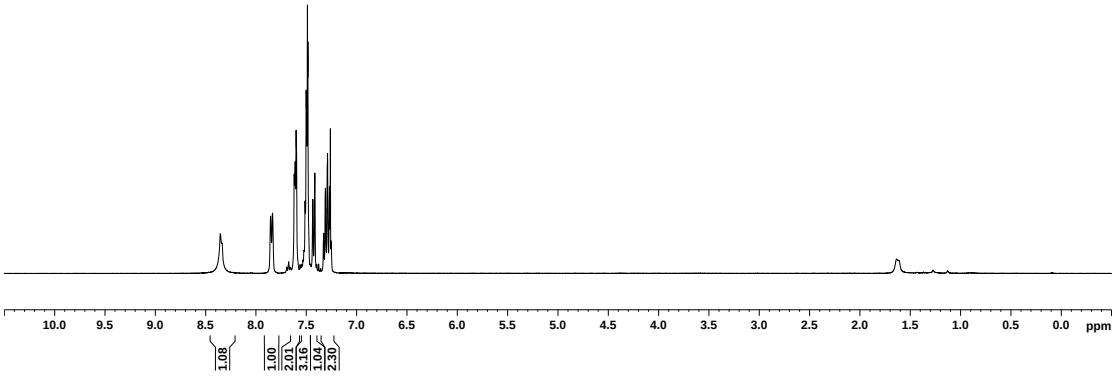


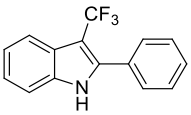
4c ($CDCl_3$, 101 MHz)



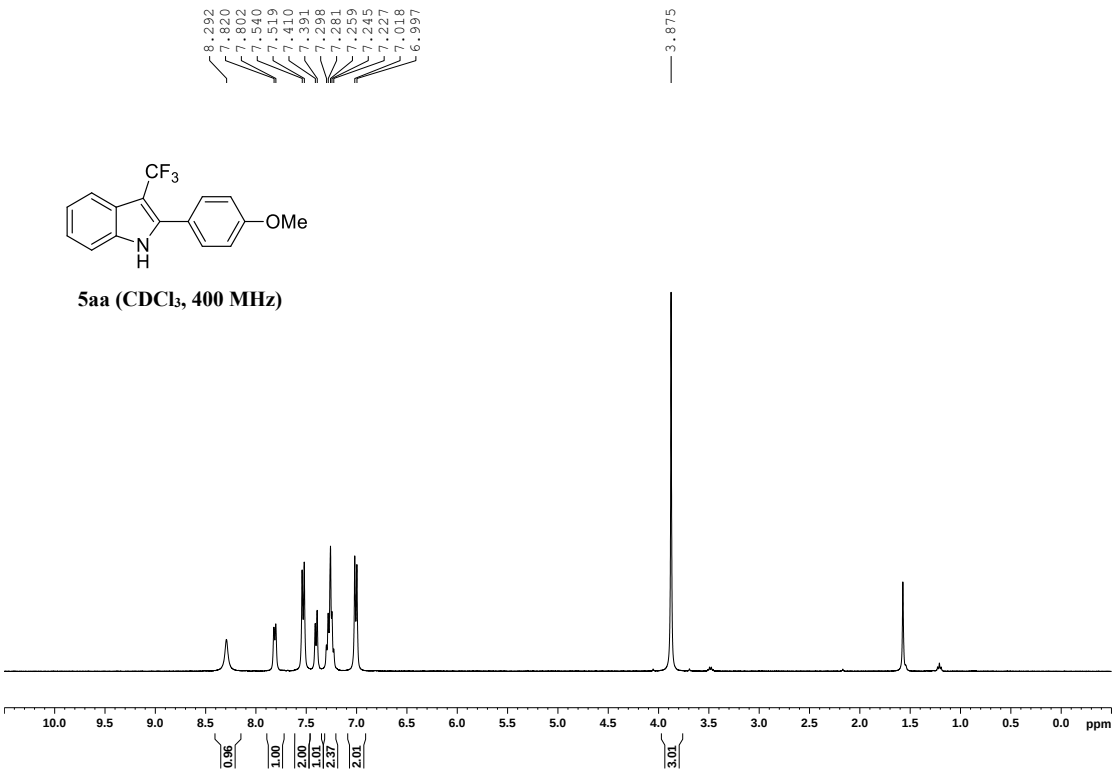


5 (CDCl$_3$, 400 MHz)

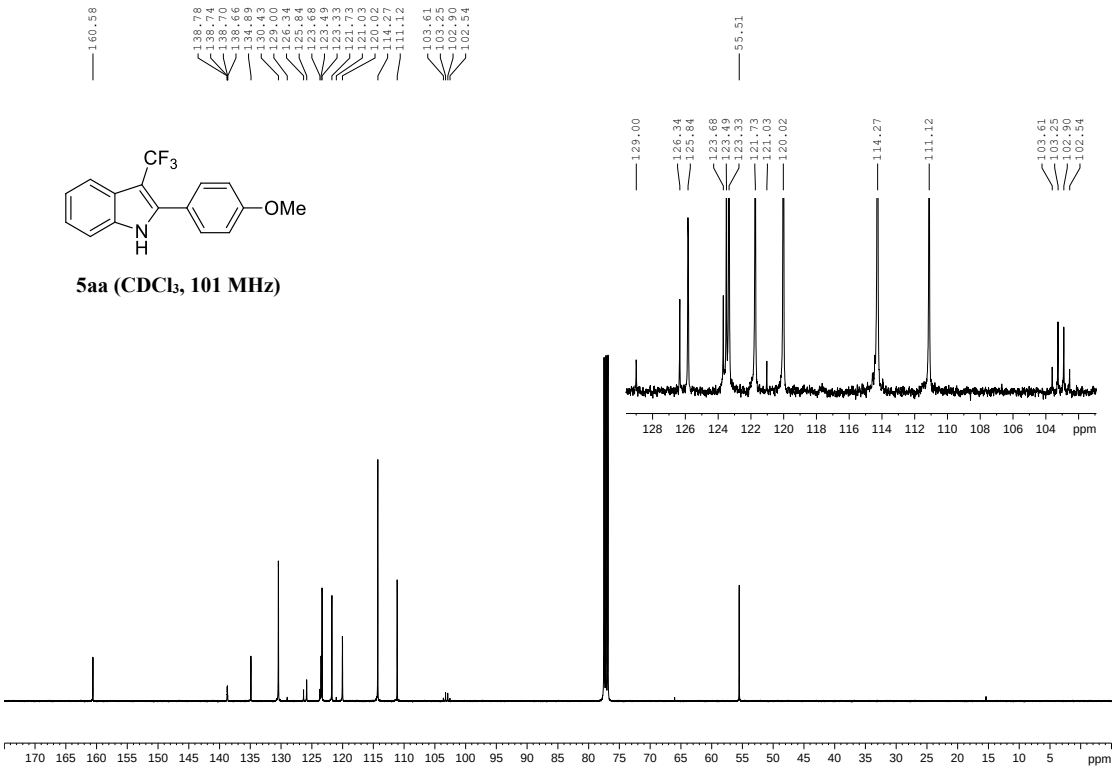




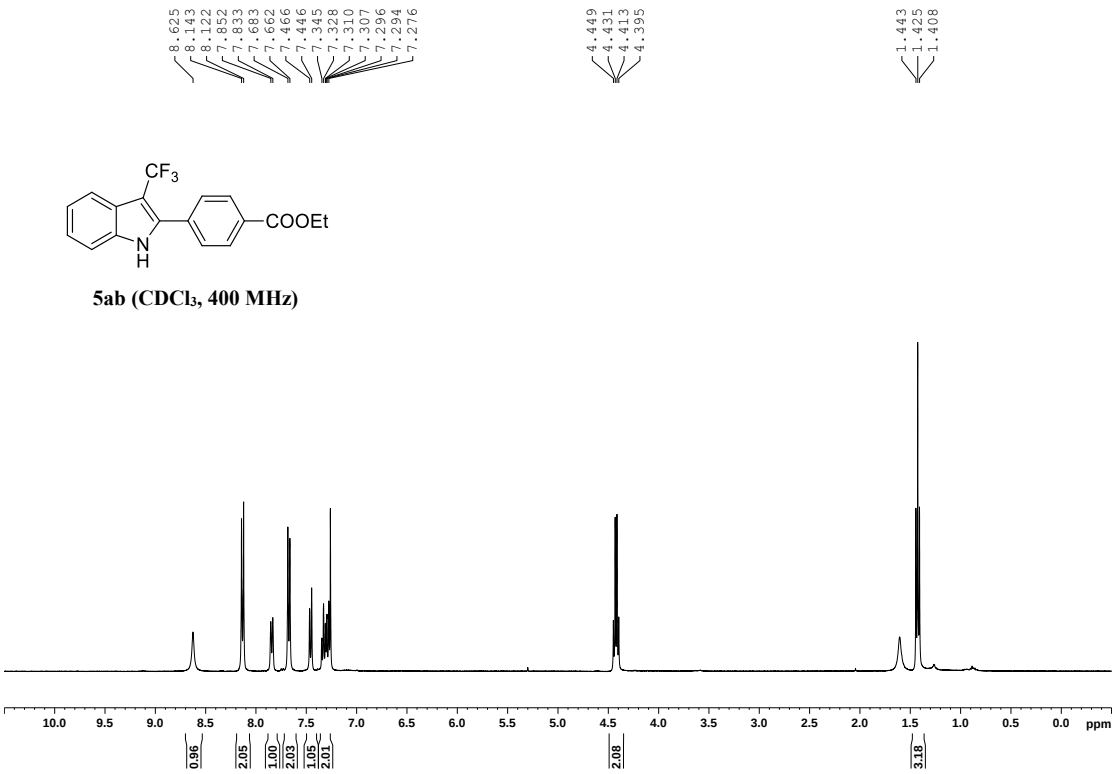
5 (CDCl$_3$, 101 MHz)



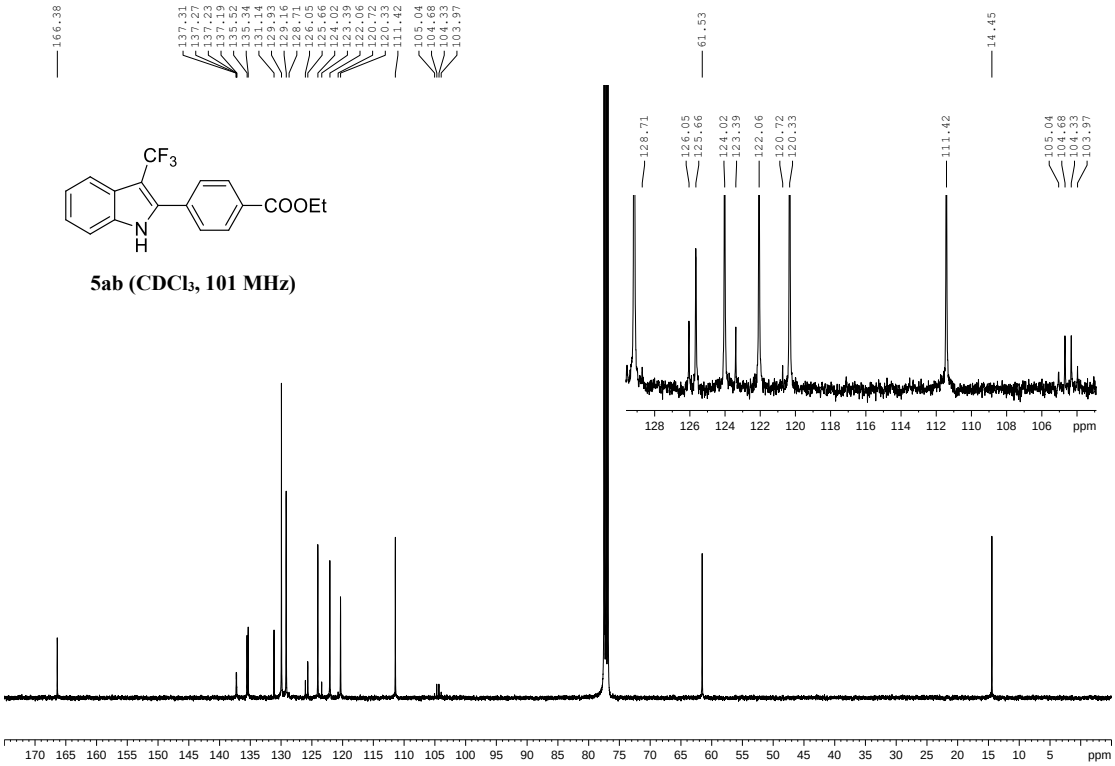
5aa ($CDCl_3$, 400 MHz)



5aa ($CDCl_3$, 101 MHz)



5ab (CDCl$_3$, 400 MHz)



5ab (CDCl$_3$, 101 MHz)