Supporting Information

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1. General information

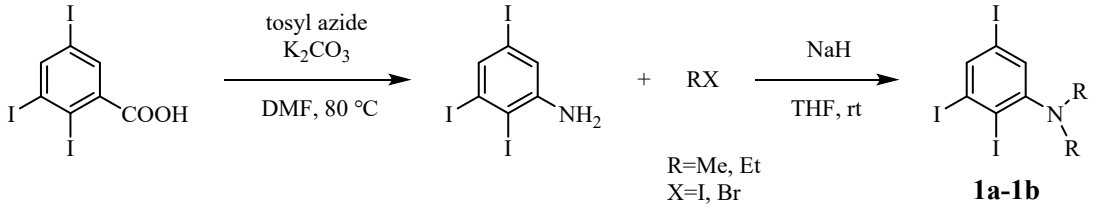
Unless otherwise specified, all glass reaction containers had been dried in an oven, and the solvents had been distilled with appropriate desiccants before use. All reagents were purchased from suppliers, and the reactions which proceeded under heating were performed in an oil bath. The reaction process was monitored by thin layer chromatography (TLC) on a glass plate coated with 0.2 mm thick silica gel, and TLC was analyzed at 254 nm or 365 nm wavelength. Flash column chromatography was performed using silica gel 60 (200-300 mesh). Mass spectra were obtained using TOF mass spectrometer ESI or EI source.

^{1}H NMR, ^{13}C NMR, and ^{19}F NMR were all measured using Bruker DRX-400 spectrometer (400 MHz) and Bruker AVANCE-600 spectrometer (600 MHz). The ^{1}H NMR chemical shifts were determined in reference to $(CH_3)_4Si$ (TMS) at δ 0.00 ppm, or to the residual undeuterated solvent of $CDCl_3$ at δ 7.26 ppm and DMSO-d_6 at δ 2.50 ppm. ^{13}C NMR chemical shifts were determined in reference to the signal of the solvent $CDCl_3$ triplet at δ 77.16 ppm. Data for ^{1}H NMR, ^{13}C NMR, ^{19}F NMR were recorded as follows: chemical shift (δ, ppm), multiplicity (s = singlet, d = doublet, t = triplet, m = multiplet, q = quartet, dd = doublet of doublets, dt = doublet of triplets).

2. Synthesis of starting materials

Phenol substrates **2a-2e**, thiophenol substrates **2f-2j**, *tert*-butyl mercaptan **2k**, *N*-heterocycles **2m-2t** and *N*-methyl-p-toluenesulfonamide **2u** were purchased from suppliers. Thiourea **2l**[1],**7**[2],**8**[3] are known compounds synthesized according to the literature.

2.1. General procedure for the synthesis of benzyne precursors 1a-1b:

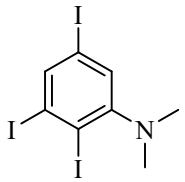


P-Toluenesulfonyl azide (6 mmol, 1.2 equiv.) was added to a solution of 2,3,5-triiodobenzoic acid (5 mmol, 1.0 equiv.) and K_2CO_3 (10 mmol, 2.0 equiv.) in DMF (20 mL, 0.25 M). The solution was heated to 80 °C and stirred for 4 hours. The reaction mixture was then quenched with saturated NH_4Cl solution (30 mL) and extracted with ethyl acetate (50 mL × 3). The combined organic layer was washed with water and

brine successively. Then, the organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel using ethyl acetate and petroleum ether as the eluent, yield: 48%.

Under nitrogen protection, NaH (4 mmol, 2.0 equiv.) and anhydrous THF (6 mL) were added to a Schlenk reaction flask. With stirring, 2,3,5-triiodoaniline (2 mmol, 1.0 equiv.) dissolved in THF (4 mL) was injected into the reaction flask. The mixture was first stirred at 0 ℃ for 30 minutes, followed by the addition of the corresponding alkyl halide (5 mmol, 2.5 equiv.). The reaction was carried out at room temperature for 12 hours. Then the reaction mixture was quenched with saturated NH_4Cl solution (20 mL) and extracted with ethyl acetate (40 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. Substrates **1a-1b** were purified by column chromatography on silica gel using ethyl acetate and petroleum ether as the eluent.

2,3,5-Triiodo-*N, N*-dimethylaniline (1a):



1a

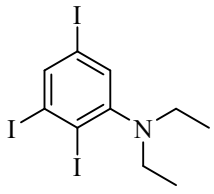
The title compound was prepared from CH_3I (5 mmol, 2.5 equiv.) according to the general procedure. Yellow solid, 808.2 mg, yield: 81%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.93 (d, *J* = 1.9 Hz, 1H), 7.24 (d, *J* = 1.8 Hz, 1H), 2.71 (s, 6H).

^{13}C NMR (151 MHz, Chloroform-d): δ 158.4, 142.0, 128.9, 111.6, 111.3, 95.1, 45.1.

HRMS (ESI-TOF): m/z calculated for $C_8H_8I_3N[(M+H)^+]$: 499.7864, found: 499.7868.

***N, N*-Diethyl-2,3,5-triiodoaniline (1b):**



1b

The title compound was prepared from EtBr (5 mmol, 2.5 equiv.) according to the general procedure. Yellow oil, 800.7 mg, yield: 76%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.97 (d, *J* = 1.9 Hz, 1H), 7.22 (d, *J* = 2.0 Hz, 1H), 2.98

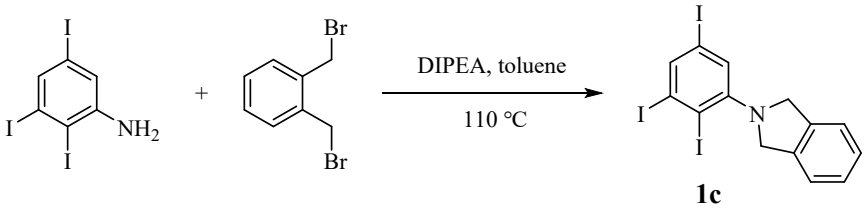
(q, *J* = 7.1 Hz, 4H), 1.02 (t, *J* = 7.1 Hz, 6H).

^{13}C NMR (151 MHz, Chloroform-*d*): δ 155.7, 142.8, 132.2, 116.6, 110.3, 48.0, 12.2.

HRMS (ESI-TOF): m/z calculated for $C_{10}H_{12}I_3N[(M+H)^+]$: 527.8177, found: 527.8181.

2.2. Synthesis of other benzyne precursors

2-(2,3,5-Triiodophenyl) isoindoline (1c):



1c

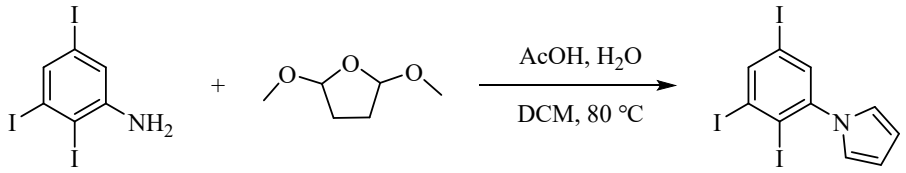
Under nitrogen atmosphere, 2,3,5-triiodoaniline (4 mmol, 1.0 equiv.), 1,2-bis(bromomethyl)benzene (3.2 mmol, 0.8 equiv.), DIPEA (8 mmol, 2.0 equiv.) and toluene (16 mL, 0.25 M) were added to the reaction flasks. The reaction was heated to 110 ℃ for 12 hours. The reaction mixture was quenched with saturated NH_4Cl solution (20 mL) and extracted with ethyl acetate (40 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. Compound **1c** was purified by column chromatography on silica gel using ethyl acetate and petroleum ether as the eluent. Brown solid, 1.31 g, yield: 57%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.96 (s, 1H), 7.43 (s, 1H), 7.29-7.27 (m, 4H), 4.61 (s, 4H).

^{13}C NMR (151 MHz, Chloroform-*d*): δ 155.2, 142.2, 138.4, 130.2, 127.3, 122.4, 111.8, 110.1, 94.9, 57.8.

HRMS (ESI-TOF): m/z calculated for $C_{14}H_{10}I_3N[(M+H)^+]$: 573.8020, found: 573.8029.

1-(2,3,5-Triiodophenyl)-1*H*-pyrrole (1d):



1d

2,5-Dimethoxytetrahydrofuran (3.15 mmol, 1.05 equiv.) was added to a solution of 2,3,5-triiodoaniline (3 mmol, 1.0 equiv.) in acetic acid (3.6 mmol, 1.2 equiv.), water

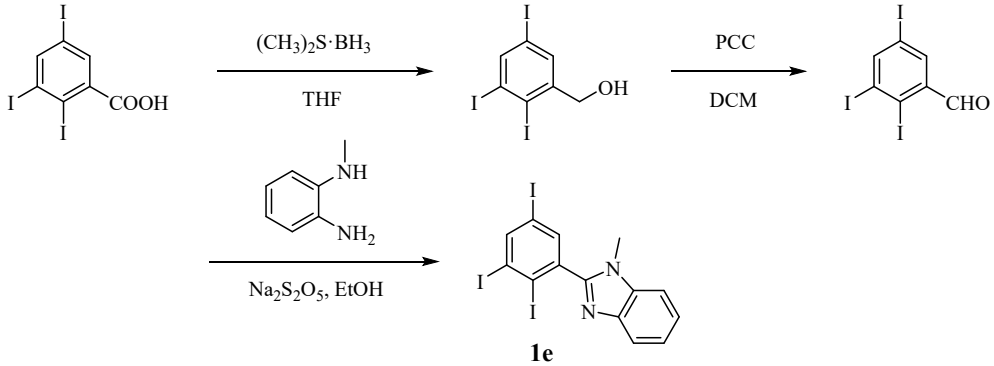
(3 mL) and DCM (6 mL). The solution was stirred at 80 ℃ for 12 hours. Then the reaction mixture was extracted with ethyl acetate (30 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. Compound **1d** was purified by column chromatography on silica gel using ethyl acetate and petroleum ether as the eluent. White solid, 1.05 g, yield: 67%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.23 (d, *J* = 2.0 Hz, 1H), 7.54 (d, *J* = 2.0 Hz, 1H), 6.74 (t, *J* = 2.2 Hz, 2H), 6.31 (t, *J* = 2.1 Hz, 2H).

^{13}C NMR (151 MHz, Chloroform-*d*): δ 146.5, 146.0, 136.0, 121.9, 111.4, 111., 109.7, 93.9.

HRMS (ESI-TOF): m/z calculated for $C_{10}H_6I_3N[(M+H)^+]$: 521.7707, found: 521.7709.

1-Methyl-2-(2,3,5-triiodophenyl)-1*H*-benzo[*d*]imidazole (1e):



1e

Under nitrogen atmosphere, $(CH_3)_2S{\cdot}BH_3$ (12 mmol, 1.2 equiv.) was slowly added to a solution of 2,3,5-triiodobenzoic acid (10 mmol, 1.0 equiv.) in anhydrous THF (10 mL) at 0 ℃. The solution was stirred at room temperature for 16 hours. Then the reaction mixture was quenched with saturated NH_4Cl solution and extracted with ethyl acetate (30 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated to obtain white solid of 4.8 g.

The crude product obtained from the previous step (~9 mmol) and PCC (18 mmol, 2.0 equiv.) in DCM (9 mL) were added to a reaction flask. The solution was stirred at room temperature for 2 hours. Then the reaction mixture was diluted with DCM, filtered with diatomaceous earth, and concentrated to obtain white solid 4.26 g.

Under nitrogen atmosphere, the crude product obtained from the previous step (~8.5 mmol) in EtOH (42.5 mL) was added *N*-methyl-1,2-phenylenediamine (8.5 mmol, 1.0 equiv.) and $Na_2S_2O_5$ (8.5 mmol, 1.0 equiv.). After reflux for 3 hours, the reaction mixture was extracted with ethyl acetate (40 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. Compound **1e**

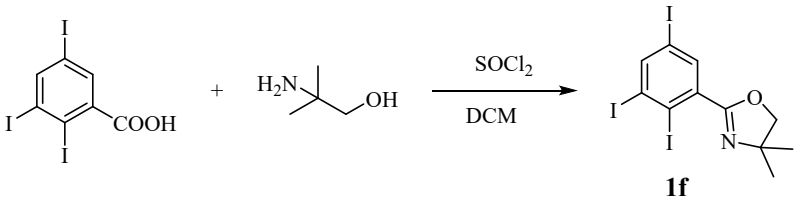
was purified by column chromatography on silica gel using ethyl acetate and petroleum ether as the eluent. White solid, 3.39 g, yield: 58% for three steps.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.34 (d, *J* = 2.0 Hz, 1H), 7.83 (dd, *J* = 6.7, 2.0 Hz, 1H), 7.71 (d, *J* = 2.0 Hz, 1H), 7.46 – 7.31 (m, 3H), 3.65 (s, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*): δ 153.7, 148.2, 142.3, 140.1, 139.1, 135.0, 123.5 122.8, 120.4, 112.3, 111.0, 109.8, 94.0, 31.1.

HRMS (ESI-TOF): m/z calculated for $C_{14}H_9I_3N_2[(M+H)^+]$: 586.7973, found: 586.7977.

4,4-Dimethyl-2-(2,3,5-triiodophenyl)-4,5-dihydrooxazole (1f):



1f

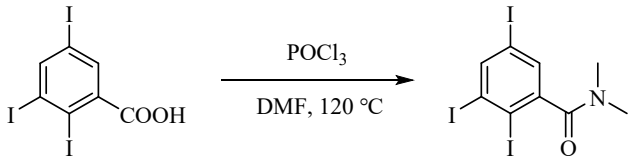
2,3,5-Triiodobenzoic acid (6 mmol, 1.0 equiv.) was dissolved in $SOCl_2$ (4.35 mL, 60 mmol, 10.0 eq) and stirred at rt for six hours. The excessive $SOCl_2$ was removed and the residue was dissolved in CH_2Cl_2 (20 mL), followed by addition of 2-amino-2-methyl-1- propanol (12 mmol, 2.0 equiv.). After stirred at 0 ℃ for 2 hours, the reaction was warmed to room temperature. $SOCl_2$ (20 mmol) was added to the reaction, and stirred at room temperature for 1 hour. Water (20 mL) was added to quench the reaction and the mixture was extracted with CH_2Cl_2 (20 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. Compound **1f** was purified by column chromatography on silica gel using ethyl acetate and petroleum ether as the eluent. Yellow solid, 1.53 g, yield: 46%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.25 (d, *J* = 2.0 Hz, 1H), 7.69 (d, *J* = 2.0 Hz, 1H), 4.14 (s, 2H), 1.40 (s, 6H).

^{13}C NMR (151 MHz, Chloroform-*d*): δ 162.2, 148.2, 138.6, 137.8, 112.1, 108.56, 93.6, 79.9, 68.3, 28.1.

HRMS (ESI-TOF): m/z calculated for $C_{11}H_{10}I_3NO[(M+H)^+]$: 553.7969, found: 553.7974.

2,3,5-Triiodo-*N, N*-dimethylbenzamide (1g):



1g

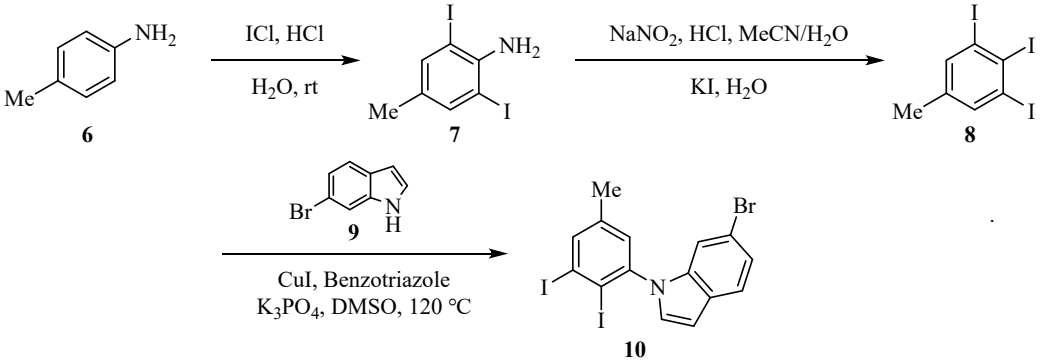
2,3,5-Triiodobenzoic acid (5 mmol, 1.0 equiv.), $POCl_3$ (5 mmol, 1.0 equiv.) and DMF (30 mL) were added to a sealed tube. The solution reacted at 120 ℃ for 1 hour. After cooled to rt, a saturated Na_2CO_3 aqueous solution (20 mL) was added to quench the reaction. The reaction mixture was added water (20 mL) and extracted with ethyl acetate (40 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. Compound **1g** was purified by column chromatography on silica gel using ethyl acetate and petroleum ether as the eluent. White solid, 2.5 g, yield: 93%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.18 (d, *J* = 2.0 Hz, 1H), 7.42 (d, *J* = 2.0 Hz, 1H), 3.11 (s, 3H), 2.86 (s, 3H).

^{13}C NMR (151 MHz, Chloroform-*d*): δ 169.1, 146.8, 146.5, 134.5, 111.7, 106.0, 94.5, 38.4, 34.8.

HRMS (ESI-TOF): m/z calculated for $C_9H_8I_3NO[(M+H)^+]$: 527.7813, found: 527.7820.

6-Bromo-1-(2,3-diiodo-5-methylphenyl)-1*H*-indole (10):



p-Toluidine (13 mmol, 1.0 equiv.) was added to a solution of concentrated hydrochloric acid (30 mL) dissolved in water (210 mL). The mixture was stirred vigorously until the solid dissolved entirely, followed by the addition of ICl (4.22 g, 26 mmol, 2.0 equiv.) and stirring at room temperature for 24 hours. After the reaction was completed, the hydrochloric acid aqueous solution was removed by filtration. The obtained filter cake was extracted with water (30 mL) and DCM (30 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. Compound **7** was purified by column chromatography using ethyl acetate and

petroleum ether as the eluent. White solid, 4.24 g, yield: 91%.

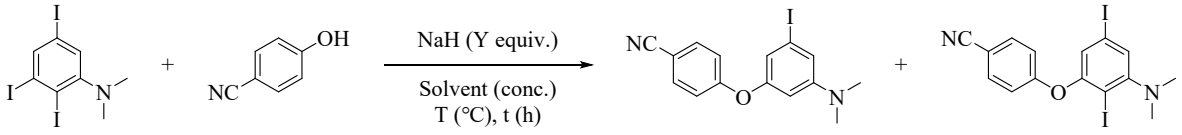
Concentrated HCl (3.3 mL) was added slowly to a vigorously stirred solution of compound **7** (11 mmol, 1.0 equiv.) in 22 mL of a 1:1 MeCN: H_2O solution at 0 ℃. The aqueous solution of $NaNO_2$ (13.2 mmol, 1.2 equiv.) was then added dropwise, and stirred at 0 ℃ for 20 minutes. After that, the aqueous solution of KI (27.5 mmol, 2.5 equiv.) was added slowly and stirred at 0 ℃ for 30 minutes. The solution was warmed to room temperature and stirred for another 3 hours. The reaction mixture was quenched with saturated NH_4Cl solution and extracted with ethyl acetate (30 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. The product **8** was purified by column chromatography using ethyl acetate and petroleum ether as the eluent. White solid, 2.89 g, yield: 56%.

Under nitrogen atmosphere, **8** (6 mmol, 1.0 equiv.), 6-bromoindole **9** (6.6 mmol, 1.1 equiv.), CuI (0.6 mmol, 10 mol%), K_3PO_4 (12 mmol, 2.0 equiv.), benzotriazole (1.2 mmol, 20 mol%) in DMSO (24 mL, 0.25 M) were reacted at 120 ℃ for 40 hours. The reaction mixture was quenched with water and extracted with ethyl acetate (40 mL × 3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. Product **10** was purified by column chromatography using ethyl acetate and petroleum ether as the eluent. Colorless oil, 1.58 g, yield: 49%. **^{1}H NMR (400 MHz, $CDCl_3$):** δ 7.62 (d, *J* = 8.5 Hz, 2H), 7.57 (s, 1H), 7.52 (d, *J* = 8.4 Hz, 1H), 7.24 (t, *J* = 4.2 Hz, 2H), 6.63 (d, *J* = 3.3 Hz, 1H), 2.41 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 141.7, 140.1, 136.8, 136.6, 130.5, 128.4, 128.1, 124.6, 123.9, 122.4, 116.2, 113.3, 104.1, 94.5, 21.1.

HRMS (ESI-TOF): m/z calculated for $C_{15}H_{10}BrI_2N[(M+H)^+]$: 537.8159, found: 537.8160.

3. Optimization of reaction conditions (Table S1)



1a (0.2 mmol) **2a** (X equiv.) **3a** **3a'**

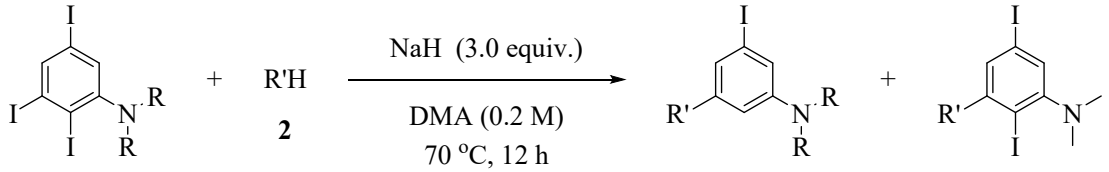
Entry	2a (X equiv.)	NaH (Y equiv.)	Solvent	Conc.	T(℃)	T(h)	**3a**(%)[a]	**3a'**(%)[a]
1	2.0	3.0	DMA	0.2 M	50	12	53	27
2	2.0	3.0	DMA	0.2 M	60	12	72	21

3	2.0	3.0	DMA	0.2 M	70	12	80	13
4	2.0	3.0	DMA	0.2 M	80	12	78	7
5	2.0	3.0	DMA	0.2 M	70	8	69	15
6	2.0	3.0	DMA	0.2 M	70	4	55	19
7	2.0	2.0	DMA	0.2 M	70	12	62	18
8	2.0	4.0	DMA	0.2 M	70	12	75	<5
9	2.0	3.0	THF	0.2 M	70	12	77	8
10	2.0	3.0	DME	0.2 M	70	12	43	24
11	2.0	3.0	DMA	0.1 M	70	12	67	11
12	2.0	3.0	DMA	0.3 M	70	12	70	<5
13	1.0	3.0	DMA	0.2 M	70	12	56	17

[a]Isolated yield. DMA = *N*, *N*-Dimethylacetamide, THF= tetrahydrofuran, DME = 1,2-Dimethoxyethane.

4. The aryne reactions

4.1. The aryne reactions with 2,3,5-triiodo-*N*,*N*-dialkylanilines as substrates

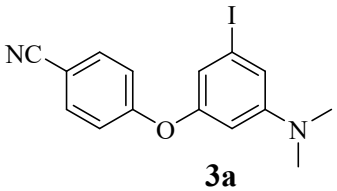


1a, R = Me
1b, R = Et

3, R = Me
4, R = Et

General procedure: Under nitrogen atmosphere, to a mixture of sodium hydride (60% in oil, 48 mg, 1.2 mmol, 3.0 equiv.) and anhydrous DMA (1 mL) was added a solution of 2,3,5-triiodo-*N,N*-dialkylanilines **1** (0.4 mmol, 1.0 equiv.) and corresponding nucleophile **2** (0.8 mmol, 2.0 equiv.) in anhydrous DMA (1 mL). The reaction was heated to 70 ℃ and stirred for 12 hours. The reaction mixture was quenched with saturated NH_4Cl solution and extracted with ethyl acetate (20 mL × 3). The organic layer was dried over Na_2SO_4, filtered and concentrated under reduced pressure. The residue was purified by column chromatography using ethyl acetate and petroleum ether as the eluent to give the product.

4-(3-(Dimethylamino)-5-iodophenoxy) benzonitrile (3a)



3a

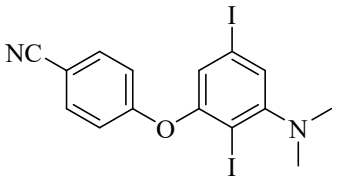
Following the general procedure, the title compound was obtained as a yellow solid, 116.5 mg, yield 80%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.64 – 7.55 (m, 2H), 7.05 – 6.97 (m, 2H), 6.86 (dd, *J* = 2.4, 1.4 Hz, 1H), 6.72 – 6.66 (m, 1H), 6.32 (t, *J* = 2.0 Hz, 1H), 2.93 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 161.4, 155.9, 152.7, 134.1, 118.8, 118.0, 117.9, 116.6, 105.9, 103.6, 95.2, 40.2.

HRMS (ESI-TOF): m/z calculated for $C_{15}H_{14}N_2OI[(M+H)^+]$: 365.0145, found: 365.0150.

4-(3-(Dimethylamino)-2,5-diiodophenoxy) benzonitrile (3a')



3a'

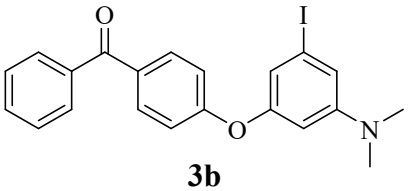
Following the general procedure, the title compound was obtained as a yellow oil, 25.6 mg，yield 13%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.67 – 7.58 (m, 2H), 7.19 (d, *J* = 2.0 Hz, 1H), 7.02 (d, *J* = 1.6 Hz, 1H), 7.00 – 6.94 (m, 2H), 2.81 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 160.4, 158.7, 156.0, 134.3, 126.7, 124.7, 118.7, 117.7, 106.5, 94.1, 92.6, 44.9.

HRMS (ESI-TOF): m/z calculated for $C_{15}H_{13}N_2OI_2[(M+H)^+]$: 490.9112, found: 490.9104.

(4-(3-(Dimethylamino)-5-iodophenoxy) phenyl) (phenyl)methanone (3b)



3b

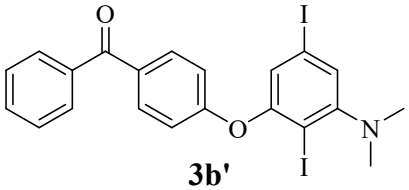
Following the general procedure, the title compound was obtained as a yellow oil, 67.3 mg，yield 38%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87 – 7.73 (m, 4H), 7.63 – 7.55 (m, 1H), 7.48 (t, *J* = 7.6 Hz, 2H), 7.08 – 7.00 (m, 2H), 6.85 (dd, *J* = 2.4, 1.6 Hz, 1H), 6.73 (t, *J* = 1.6 Hz, 1H), 6.37 (t, *J* = 2.4 Hz, 1H), 2.94 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 195.5, 161.3, 156.7, 152.6, 137.9, 132.5, 132.2, 132.1, 129.8, 128.3, 117.5, 117.3, 116.6, 103.5, 95.1, 40.3.

HRMS (ESI-TOF): m/z calculated for $C_{21}H_{19}NO_2I[(M+H)^+]$: 444.0455, found: 444.0467.

(4-(3-(Dimethylamino)-2,5-diiodophenoxy) phenyl) (phenyl)methanone (3b')



3b'

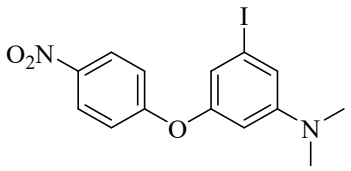
Following the general procedure, the title compound was obtained as a yellow oil, 88.7 mg，yield 39%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.90 – 7.80 (m, 2H), 7.79 (d, *J* = 8.4 Hz, 2H), 7.63 – 7.53 (m, 1H), 7.48 (t, *J* = 7.6 Hz, 2H), 7.17 (d, *J* = 1.6 Hz, 1H), 7.06 – 6.96 (m, 3H), 2.81 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 195.4, 160.4, 158.6, 156.8, 137.8, 132.6, 132.2, 129.8, 128.3, 128.2, 126.2, 124.3, 117.0, 94.1, 92.5, 44.9.

HRMS (ESI-TOF): m/z calculated for $C_{21}H_{18}NO_2I_2[(M+H)^+]$: 569.9422, found: 569.9418.

3-Iodo-*N*, *N*-dimethyl-5-(4-nitrophenoxy) aniline (3c)



3c

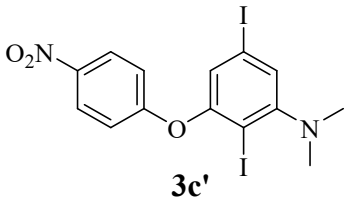
Following the general procedure, the title compound was obtained as a yellow oil, 53.8 mg，yield 35%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.20 (d, *J* = 8.8 Hz, 2H), 7.03 (d, *J* = 9.2 Hz, 2H), 6.88 (d, *J* = 1.6 Hz, 1H), 6.71 (d, *J* = 1.6 Hz, 1H), 6.34 (s, 1H), 2.94 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 163.1, 155.8, 152.7, 142.7, 125.9, 118.1, 117.2, 116.7, 103.7, 95.2, 40.2.

HRMS (ESI-TOF): m/z calculated for $C_{14}H_{14}N_2O_3I[(M+H)^+]$: 385.0044, found: 385.0039.

2,5-Diiodo-*N, N*-dimethyl-3-(4-nitrophenoxy) aniline (3c')



3c'

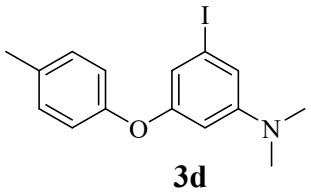
Following the general procedure, the title compound was obtained as a yellow oil, 36.7 mg，yield 18%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.27 – 8.18 (m, 2H), 7.21 (d, *J* = 1.6 Hz, 1H), 7.06 (d, *J* = 2.0 Hz, 1H), 7.01 – 6.94 (m, 2H), 2.81 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 162.0, 158.8, 156.0, 143.1, 127.0, 126.1, 124.8, 116.9, 94.2, 92.6, 44.9.

HRMS (ESI-TOF): m/z calculated for $C_{14}H_{13}N_2O_3I_2$ $[(M+H)^+]$: 510.9010, found: 510.9015.

3-Iodo-*N, N*-dimethyl-5-(*p*-tolyloxy) aniline (3d)



3d

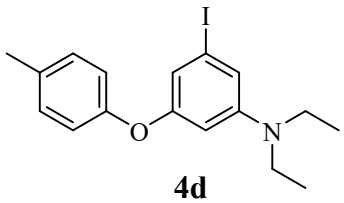
Following the general procedure, the title compound was obtained as a yellow solid, 74.8 mg，yield 53%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.14 (d, *J* = 8.0 Hz, 2H), 6.92 (d, *J* = 8.4 Hz, 2H), 6.75 (s, 1H), 6.59 (s, 1H), 6.32 (d, *J* = 2.4 Hz, 1H), 2.90 (s, 6H), 2.34 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 159.0, 154.2, 152.5, 133.1, 130.2, 119.2, 116.1, 115.0, 102.1, 95.0, 40.3, 20.7.

HRMS (ESI-TOF): m/z calculated for $C_{15}H_{17}NOI[(M+H)^+]$: 354.0350, found: 354.0354.

***N, N*-Diethyl-3-iodo-5-(p-tolyloxy) aniline (4d)**



4d

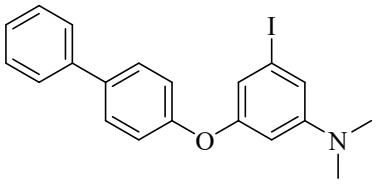
Following the general procedure, the title compound was obtained as a yellow oil, 64.1 mg，yield 42%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.13 (d, *J* = 8.4 Hz, 2H), 6.96 – 6.89 (m, 2H), 6.72 – 6.65 (m, 1H), 6.53 – 6.46 (m, 1H), 6.27 (t, *J* = 2.0 Hz, 1H), 3.28 (q, *J* = 7.2 Hz, 4H), 2.34 (s, 3H), 1.13 (t, *J* = 7.2 Hz, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 159.2, 154.3, 149.9, 133.0, 130.2, 119.1, 115.4, 114.0, 101.5, 95.3, 44.4, 20.7, 12.5.

HRMS (ESI-TOF): m/z calculated for $C_{17}H_{21}NOI[(M+H)^+]$: 382.0663, found: 382.0659.

3-([1,1'-Biphenyl]-4-yloxy)-5-iodo-*N, N*-dimethylaniline (3e)



3e

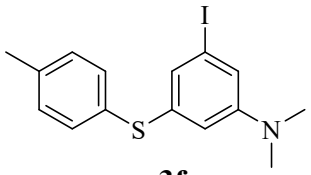
Following the general procedure, the title compound was obtained as a white solid, 86.3 mg，yield 52%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.57 (t, *J* = 7.6 Hz, 4H), 7.44 (t, *J* = 7.6 Hz, 2H), 7.37 – 7.30 (m, 1H), 7.11 – 7.04 (m, 2H), 6.81 (dd, *J* = 2.4, 1.6 Hz, 1H), 6.69 (t, *J* = 1.6 Hz, 1H), 6.38 (t, *J* = 2.4 Hz, 1H), 2.93 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 158.3, 156.4, 152.4, 140.5, 136.5, 128.8, 128.4, 127.1, 126.9, 119.1, 116.7, 115.9, 102.8, 95.1, 40.4.

HRMS (ESI-TOF): m/z calculated for $C_{20}H_{19}NOI[(M+H)^+]$: 416.0506, found: 416.0503.

3-Iodo-*N, N*-dimethyl-5-(p-tolylthio) aniline (3f)



3f

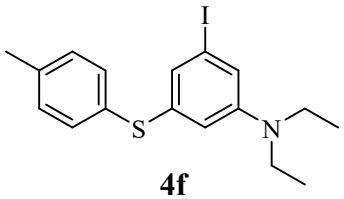
Following the general procedure, the title compound was obtained as a white solid, 87.1 mg，yield 59%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.33 – 7.28 (m, 2H), 7.14 (d, *J* = 7.6 Hz, 2H), 6.85 (dt, *J* = 6.8, 1.6 Hz, 2H), 6.57 (t, *J* = 2.0 Hz, 1H), 2.87 (s, 6H), 2.35 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 151.5, 139.4, 137.8, 132.4, 130.6, 130.1, 125.5, 119.2, 112.5, 95.7, 40.2, 21.2.

HRMS (ESI-TOF): m/z calculated for $C_{15}H_{17}NSI[(M+H)^+]$: 370.0121, found: 370.0127.

***N, N*-Diethyl-3-iodo-5-(p-tolylthio) aniline (4f)**



4f

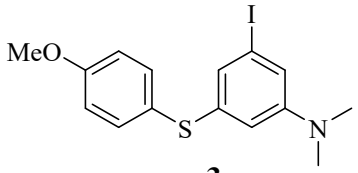
Following the general procedure, the title compound was obtained as a colorless oil, 125.5 mg，yield 79%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.32 (d, *J* = 7.6 Hz, 2H), 7.15 (d, *J* = 7.6 Hz, 2H), 6.80 (s, 2H), 6.47 (s, 1H), 3.24 (q, *J* = 7.2 Hz, 4H), 2.35 (s, 3H), 1.09 (t, *J* = 7.2 Hz, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 148.9, 139.6, 137.8, 132.5, 130.6, 130.1, 124.4, 118.4, 111.7, 96.0, 44.4, 21.2, 12.4.

HRMS (ESI-TOF): m/z calculated for $C_{17}H_{21}NSI[(M+H)^+]$: 398.0434, found: 398.0429.

3-Iodo-5-((4-methoxyphenyl)thio)-*N, N*-dimethylaniline (3g)



3g

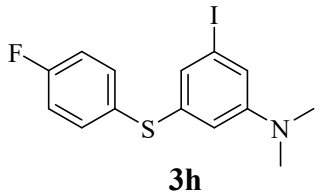
Following the general procedure, the title compound was obtained as a yellow solid, 109.4 mg，yield 71%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.45 – 7.36 (m, 2H), 6.94 – 6.86 (m, 2H), 6.80 (dd, *J* = 2.4, 1.6 Hz, 1H), 6.75 (t, *J* = 1.6 Hz, 1H), 6.47 (dd, *J* = 2.4, 1.6 Hz, 1H), 3.83 (s, 3H), 2.86 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 160.0, 151.4, 141.0, 135.5, 124.1, 123.6, 118.7, 115.0, 111.0, 95.7, 55.4, 40.2.

HRMS (ESI-TOF): m/z calculated for $C_{15}H_{17}NOSI[(M+H)^+]$: 386.0070, found: 386.0070.

3-((4-Fluorophenyl)thio)-5-iodo-*N,N*-dimethylaniline (3h)



3h

Following the general procedure, the title compound was obtained as a white solid, 104.4 mg，yield 70%.

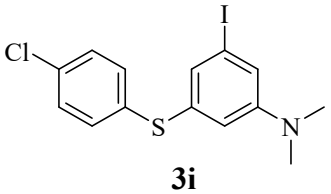
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.39 (dd, *J* = 8.8, 5.2 Hz, 2H), 7.04 (t, *J* = 8.4 Hz, 2H), 6.89 – 6.81 (m, 2H), 6.53 (s, 1H), 2.88 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 162.5 (d, *J* = 248.3 Hz), 151.5, 139.0, 134.4 (d, *J* = 8.2 Hz), 129.5, 125.5, 119.4, 116.4 (d, *J* = 22.2 Hz), 112.5, 95.7, 40.2.

^{19}F NMR (565 MHz, $CDCl_3$): δ -113.6

HRMS (ESI-TOF): m/z calculated for $C_{14}H_{14}NFSI[(M+H)^+]$: 373.9870, found: 373.9879.

3-((4-Chlorophenyl)thio)-5-iodo-*N,N*-dimethylaniline (3i)



3i

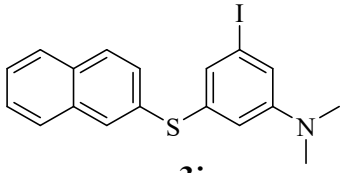
Following the general procedure, the title compound was obtained as a white solid, 110.5 mg，yield 71%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.27 (s, 4H), 6.93 (t, *J* = 1.6 Hz, 1H), 6.90 (dd, *J* = 2.4, 1.6 Hz, 1H), 6.61 (dd, *J* = 2.4, 1.6 Hz, 1H), 2.90 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 151.6, 137.3, 134.0, 133.2, 132.2, 129.3, 126.7, 120.0, 113.8, 95.7, 40.2.

HRMS (ESI-TOF): m/z calculated for $C_{14}H_{14}NClSI[(M+H)^+]$: 389.9575, found: 389.9563.

3-Iodo-*N, N*-dimethyl-5-(naphthalen-2-ylthio)aniline (3j)



3j

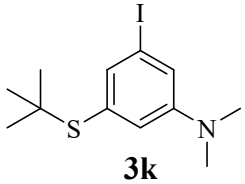
Following the general procedure, the title compound was obtained as a white solid, 108.5 mg，yield 67%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.87 (d, *J* = 2.0 Hz, 1H), 7.79 (dt, *J* = 14.4, 7.6 Hz, 3H), 7.53 – 7.45 (m, 2H), 7.42 (dd, *J* = 8.8, 2.0 Hz, 1H), 6.99 (s, 1H), 6.92 (s, 1H), 6.69 (s, 1H), 2.89 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 151.5, 138.2, 133.8, 132.4, 132.3, 130.1, 128.9, 128.8, 127.8, 127.5, 126.8, 126.6, 126.3, 119.9, 113.7, 95.7, 40.4.

HRMS (ESI-TOF): m/z calculated for $C_{18}H_{17}NSI[(M+H)^+]$: 406.0121, found: 406.0129.

3-(*tert*-Butylthio)-5-iodo-*N, N*-dimethylaniline (3k)



3k

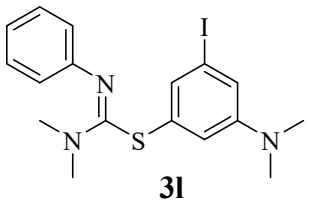
Following the general procedure, the title compound was obtained as a colorless oil, 57.7 mg，yield 43%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.22 (s, 1H), 7.00 (s, 1H), 6.83 (s, 1H), 2.93 (s, 6H), 1.30 (s, 9H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 151.2, 134.7, 133.0, 121.2, 120.6, 94.7, 46.2, 40.3, 31.1.

HRMS (ESI-TOF): m/z calculated for $C_{12}H_{19}NSI[(M+H)^+]$: 336.0278, found: 336.0273.

3-(Dimethylamino)-5-iodophenyl(*E*)-*N,N*-dimethyl-*N′*-phenylcarbamimidothioate (3l)



3l

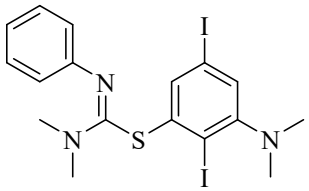
Following the general procedure, the title compound was obtained as a yellow oil, 34.1 mg，yield 20%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.18 – 7.10 (m, 2H), 6.97 – 6.88 (m, 1H), 6.83 (t, *J* = 1.2 Hz, 1H), 6.79 (dd, *J* = 2.4, 1.2 Hz, 1H), 6.72 (dd, *J* = 8.4, 1.2 Hz, 2H), 6.34 (dd, *J* = 2.4, 1.6 Hz, 1H), 3.12 (s, 6H), 2.85 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.0, 151.3, 150.4, 135.3, 128.3, 126.0, 122.3, 122.2, 119.4, 113.0, 95.1, 40.2, 39.8.

HRMS (ESI-TOF): m/z calculated for $C_{17}H_{21}N_3SI[(M+H)^+]$: 426.0496, found: 426.0488.

3-(Dimethylamino)-2,5-diiodophenyl (*E*)-*N, N*-dimethyl-*N′*-phenylcarbamimido - thioate (3l')



3l'

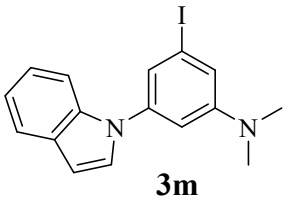
Following the general procedure, the title compound was obtained as a colorless oil, 41.9 mg，yield 19%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.18 (d, *J* = 2.0 Hz, 1H), 7.10 – 7.03 (m, 2H), 7.00 (d, *J* = 2.0 Hz, 1H), 6.87 – 6.80 (m, 1H), 6.67 (dd, *J* = 8.4, 1.2 Hz, 2H), 3.18 (s, 6H), 2.63 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 157.1, 151.6, 149.7, 141.5, 134.8, 128.2, 127.5, 122.2, 122.0, 104.5, 94.0, 45.0, 39.9.

HRMS (ESI-TOF): m/z calculated for $C_{17}H_{20}N_3SI_2[(M+H)^+]$: 551.9462, found: 551.9478.

3-(1*H*-Indol-1-yl)-5-iodo-*N, N*-dimethylaniline (3m)



3m

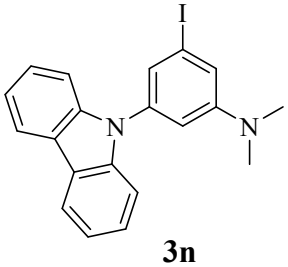
Following the general procedure, the title compound was obtained as a colorless oil, 43.4 mg，yield 30%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.69 (d, *J* = 7.6 Hz, 1H), 7.61 (d, *J* = 8.4 Hz, 1H), 7.33 (s, 1H), 7.28 – 7.21 (m, 1H), 7.22 – 7.14 (m, 2H), 7.02 (dd, *J* = 2.4, 1.6 Hz, 1H), 6.76 (t, *J* = 2.0 Hz, 1H), 6.67 (d, *J* = 3.2 Hz, 1H), 3.00 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 151.9, 141.3, 135.7, 129.3, 127.8, 122.4, 121.1, 120.9, 120.4, 119.1, 110.6, 107.6, 103.5, 95.4, 40.3.

HRMS (ESI-TOF): m/z calculated for $C_{16}H_{16}N_2I[(M+H)^+]$: 363.0353, found: 363.0355.

3-(9*H*-Carbazol-9-yl)-5-iodo-*N, N*-dimethylaniline (3n)



3n

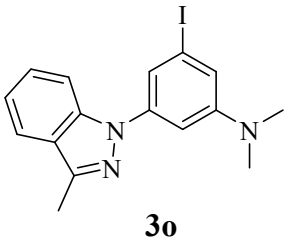
Following the general procedure, the title compound was obtained as a white solid, 110.5 mg，yield 67%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.13 (d, *J* = 7.6 Hz, 2H), 7.48 – 7.38 (m, 4H), 7.31 – 7.26 (m, 2H), 7.21 (t, *J* = 1.6 Hz, 1H), 7.11 (t, *J* = 2.0 Hz, 1H), 6.81 (t, *J* = 2.0 Hz, 1H), 2.99 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.3, 140.8, 139.2, 126.0, 123.4, 123.3, 120.3, 120.0, 119.9, 110.4, 110.0, 95.5, 40.4.

HRMS (ESI-TOF): m/z calculated for $C_{20}H_{18}N_2I[(M+H)^+]$: 413.0509, found: 413.0514.

3-Iodo-*N, N*-dimethyl-5-(3-methyl-1H-indazol-1-yl) aniline (3o)



3o

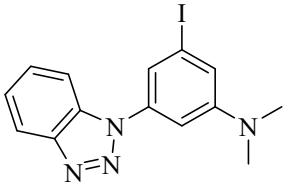
Following the general procedure, the title compound was obtained as a white solid, 73.9 mg，yield 49%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.71 (d, *J* = 8.4 Hz, 2H), 7.46 – 7.39 (m, 1H), 7.36 (s, 1H), 7.20 (t, *J* = 7.6 Hz, 1H), 6.97 (s, 2H), 3.01 (s, 6H), 2.64 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.0, 144.1, 141.7, 139.5, 127.2, 125.0, 120.9, 120.6, 119.0, 118.9, 110.5, 105.8, 95.2, 40.4, 12.0.

HRMS (ESI-TOF): m/z calculated for $C_{16}H_{17}N_3I[(M+H)^+]$: 378.0462, found: 378.0455.

3-(1*H*-Benzo[*d*][1,2,3]triazol-1-yl)-5-iodo-*N*,*N*-dimethylaniline (3p)



3p

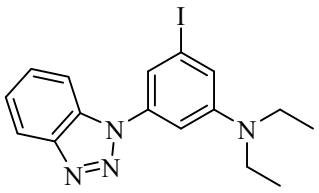
Following the general procedure, the title compound was obtained as a yellow solid, 70.0 mg，yield 46%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.14 (d, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 1H), 7.56 (dd, *J* = 8.4, 7.2 Hz, 1H), 7.47 – 7.40 (m, 1H), 7.37 (t, *J* = 1.6 Hz, 1H), 7.11 (dd, *J* = 2.4, 1.2 Hz, 1H), 7.03 (t, *J* = 2.0 Hz, 1H), 3.04 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.0, 146.5, 138.4, 132.3, 128.3, 124.4, 120.9, 120.3, 118.6, 110.5, 106.1, 95.2, 40.3.

HRMS (ESI-TOF): m/z calculated for $C_{14}H_{14}N_4I[(M+H)^+]$: 365.0258, found: 365.0252.

3-(1*H*-Benzo[*d*][1,2,3]triazol-1-yl)-*N*,*N*-diethyl-5-iodoaniline (4p)



4p

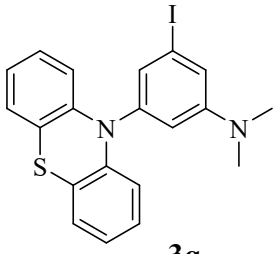
Following the general procedure, the title compound was obtained as a yellow oil, 83.1 mg，yield 53%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.13 (d, *J* = 8.4 Hz, 1H), 7.74 (d, *J* = 8.4 Hz, 1H), 7.55 (m, 1H), 7.43 (m, 1H), 7.29 (t, *J* = 1.6 Hz, 1H), 7.10 – 7.02 (m, 1H), 6.98 (t, *J* = 2.0 Hz, 1H), 3.40 (q, *J* = 7.2 Hz, 4H), 1.21 (t, *J* = 7.2 Hz, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 149.5, 146.5, 138.6, 132.3, 128.3, 124.4, 120.3, 117.8, 110.8, 110.6, 105.6, 95.5, 44.6, 12.4.

HRMS (ESI-TOF): m/z calculated for $C_{16}H_{18}N_4I[(M+H)^+]$: 393.0571, found: 393.0571.

3-Iodo-*N*,*N*-dimethyl-5-(10H-phenothiazin-10-yl)aniline (3q)



3q

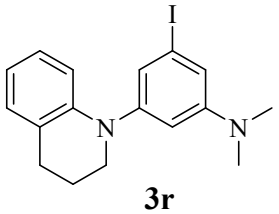
Following the general procedure, the title compound was obtained as a white solid, 46.2 mg，yield 26%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.09 (s, 1H), 7.04 (d, *J* = 1.6 Hz, 1H), 6.99 (d, *J* = 7.6 Hz, 2H), 6.88 (t, *J* = 7.6 Hz, 2H), 6.81 (t, *J* = 7.6 Hz, 2H), 6.64 (s, 1H), 6.33 (d, *J* = 8.4 Hz, 2H), 2.96 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 153.3, 143.9, 142.4, 126.9, 126.6, 126.5, 122.5, 120.4, 119.9, 116.1, 113.4, 95.9, 40.3.

HRMS (ESI-TOF): m/z calculated for $C_{20}H_{18}N_2SI[(M+H)^+]$: 445.0230, found: 445.0225.

3-(3,4-Dihydroisoquinolin-2(1H)-yl)-5-iodo-*N, N*-dimethylaniline (3r)



3r

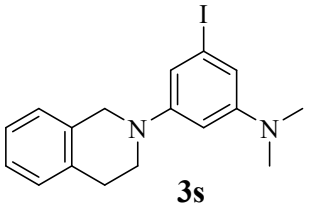
Following the general procedure, the title compound was obtained as a yellow oil, 51.4 mg，yield 34%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.04 (d, *J* = 7.2 Hz, 1H), 6.98 – 6.92 (m, 1H), 6.91 (t, *J* = 1.6 Hz, 1H), 6.83 – 6.76 (m, 2H), 6.71 (t, *J* = 7.2 Hz, 1H), 6.53 (t, *J* = 2.0 Hz, 1H), 3.64 – 3.53 (m, 2H), 2.91 (s, 6H), 2.83 (t, *J* = 6.4 Hz, 2H), 2.02 (dt, *J* = 11.6, 6.8 Hz, 2H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.3, 150.1, 144.1, 129.3, 126.4, 124.7, 121.7, 118.5, 116.9, 116.3, 108.3, 95.6, 50.8, 40.4, 27.6, 22.8.

HRMS (ESI-TOF): m/z calculated for $C_{17}H_{20}N_2I[(M+H)^+]$: 379.0666, found: 379.0671.

3-Iodo-*N, N*-dimethyl-5-morpholinoaniline (3s)



3s

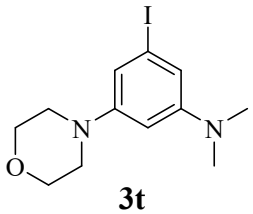
Following the general procedure, the title compound was obtained as a colorless oil, 86.2 mg，yield 57%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.18 (m, 4H), 6.72 – 6.67 (m, 1H), 6.57 (dd, *J* = 2.0, 1.2 Hz, 1H), 6.19 (t, *J* = 2.0 Hz, 1H), 4.38 (s, 2H), 3.52 (t, *J* = 6.0 Hz, 2H), 2.98 (t, *J* = 6.0 Hz, 2H), 2.94 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.3, 152.3, 134.9, 134.3, 128.4, 126.5, 126.4, 126.1, 113.1, 112.8, 98.7, 96.4, 50.6, 46.4, 40.6, 29.2.

HRMS (ESI-TOF): m/z calculated for $C_{17}H_{20}N_2I[(M+H)^+]$: 379.0666, found: 379.0667.

***N*-(3-(Dimethylamino)-2,5-diiodophenyl)-*N*,4-dimethylbenzenesulfonamide (3t)**



3t

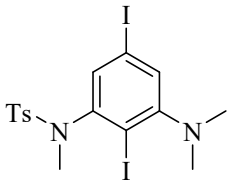
Following the general procedure, the title compound was obtained as a yellow oil, 69.1 mg，yield 52%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 6.62 (dt, *J* = 6.0, 1.6 Hz, 2H), 6.14 (t, *J* = 2.4 Hz, 1H), 3.90 – 3.77 (m, 4H), 3.17 – 3.08 (m, 4H), 2.91 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 153.2, 152.2, 114.0, 113.9, 99.5, 96.2, 66.9, 49.4, 40.5.

HRMS (ESI-TOF): m/z calculated for $C_{12}H_{18}N_2OI[(M+H)^+]$: 333.0459, found: 333.0457.

***N*-(3-(Dimethylamino)-2,5-diiodophenyl)-*N*,4-dimethylbenzenesulfonamide (3u')**



3u'

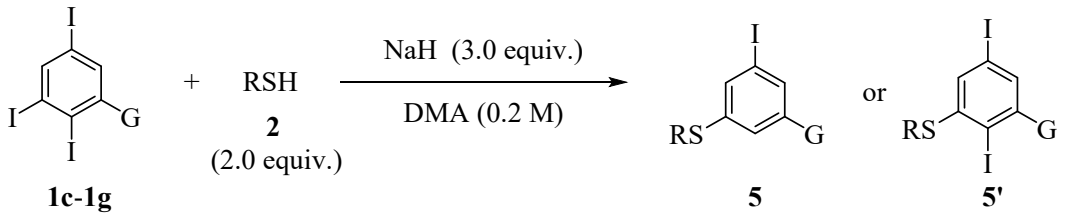
Following the general procedure, the title compound was obtained as a white solid, 108.9 mg，yield 49%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.72 (d, *J* = 8.0 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 7.25 (s, 1H), 6.89 (s, 1H), 3.08 (s, 3H), 2.76 (s, 6H), 2.47 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 158.4, 146.3, 144.0, 135.3, 132.0, 129.7, 129.6, 128.3, 105.8, 93.5, 45.0, 38.7, 21.6.

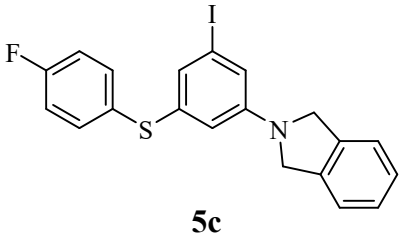
HRMS (ESI-TOF): m/z calculated for $C_{16}H_{19}N_2O_2SI_2[(M+H)^+]$: 556.9251, found: 556.9248.

4.2. The aryne reactions with other precursors as substrates



General procedure: Under nitrogen atmosphere, to a mixture of sodium hydride (60% in oil, 48 mg, 1.2 mmol, 3.0 equiv.) and anhydrous DMA (1 mL) was added a solution of substrates **1c-1g** (0.4 mmol, 1.0 equiv.) and corresponding nucleophiles **2** (0.8 mmol, 2.0 equiv.) in anhydrous DMA (1 mL). The reaction was raised to the specified temperature and stirred for 10 or 12 hours. Then the reaction mixture was quenched with saturated NH_4Cl solution and extracted with ethyl acetate (20 mL×3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. The product was purified by column chromatography using ethyl acetate and petroleum ether as the eluent.

2-(3-((4-Fluorophenyl)thio)-5-iodophenyl)isoindoline (5c)



5c

The title compound was prepared according to the general procedure at 70 °C for 12 h, obtained as a yellow solid, 73.3 mg, yield 41%.

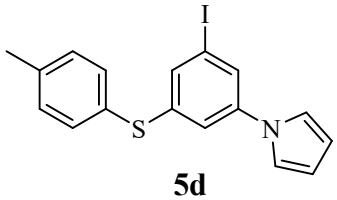
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.47 – 7.38 (m, 2H), 7.36 – 7.26 (m, 4H), 7.10 – 7.02 (m, 2H), 6.87 (t, *J* = 1.6 Hz, 1H), 6.87 – 6.82 (m, 1H), 6.51 (t, *J* = 2.0 Hz, 1H), 4.57 (s, 4H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 162.6 (d, *J* = 247.6 Hz), 148.3, 139.4, 137.1, 134.5 (d, *J* = 8.2 Hz), 129.4 (d, *J* = 3.7 Hz), 127.5, 125.4, 122.6, 118.9, 116.5 (d, *J* = 22.2 Hz), 111.8, 95.9, 53.6.

^{19}F NMR (565 MHz, $CDCl_3$): δ -113.40 (t, *J* = 7.6 Hz).

HRMS (ESI-TOF): m/z calculated for $C_{20}H_{16}NFSI[(M+H)^+]$: 448.0027, found: 448.0033.

1-(3-Iodo-5-(*p*-tolylthio)phenyl)-1*H*-pyrrole (5d)



5d

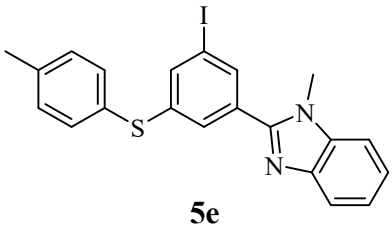
The title compound was prepared according to the general procedure at 80 °C for 10 h, obtained as a yellow solid, 45.3 mg, yield 29%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.50 (t, *J* = 1.6 Hz, 1H), 7.42 – 7.33 (m, 3H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.12 (t, *J* = 1.6 Hz, 1H), 6.94 (t, *J* = 2.0 Hz, 2H), 6.31 (t, *J* = 2.4 Hz, 2H), 2.39 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 142.1, 141.9, 139.1, 133.7, 133.4, 130.5, 128.5, 126.7, 119.2, 119.1, 111.0, 94.8, 21.3.

HRMS (ESI-TOF): m/z calculated for $C_{17}H_{14}NSI[(M+H)^+]$: 391.99965, found: 391.9965.

2-(3-Iodo-5-(*p*-tolylthio)phenyl)-1-methyl-1*H*-benzo[d]imidazole (5e)



5e

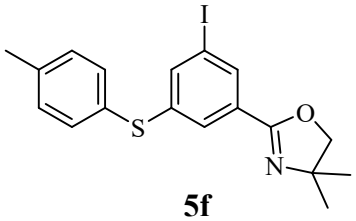
The title compound was prepared according to the general procedure at 110 °C for 12 h, obtained as a white solid, 91.2 mg, yield 50%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.93 (t, *J* = 1.6 Hz, 1H), 7.83 – 7.77 (m, 1H), 7.64 (t, *J* = 1.6 Hz, 1H), 7.44 – 7.38 (m, 3H), 7.37 – 7.27 (m, 3H), 7.20 (d, *J* = 7.6 Hz, 2H), 3.73 (s, 3H), 2.37 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 151.3, 142.7, 141.3, 139.1, 137.4, 136.4, 135.5, 133.8, 132.4, 130.5, 128.6, 127.9, 123.2, 122.7, 120.00, 109.7, 94.7, 31.6, 21.2.

HRMS (ESI-TOF): m/z calculated for $C_{21}H_{18}N_2SI[(M+H)^+]$: 457.0230, found: 457.0225.

2-(3-Iodo-5-(*p*-tolylthio)phenyl)-4,4-dimethyl-4,5-dihydrooxazole (5f)



5f

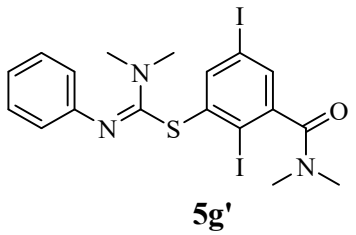
The title compound was prepared according to the general procedure at 120 °C for 12 h, obtained as a yellow oil, 35.5 mg, yield 21%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.11 (t, *J* = 1.6 Hz, 1H), 7.80 (t, *J* = 1.6 Hz, 1H), 7.55 (t, *J* = 1.6 Hz, 1H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.17 (d, *J* = 8.0 Hz, 2H), 4.07 (s, 2H), 2.37 (s, 3H), 1.36 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 160.2, 140.3, 139.6, 138.6, 134.7, 133.0, 130.4, 130.3, 129.4, 128.0, 94.2, 79.3, 67.8, 28.3, 21.2.

HRMS (ESI-TOF): m/z calculated for $C_{18}H_{19}NOSI[(M+H)^+]$: 424.0227, found: 424.0211.

3-(Dimethylcarbamoyl)-2,5-diiodophenyl (*E*)-*N*,*N*-dimethyl-*N′*-phenylcarbamimidothioate (5g')



5g'

The title compound was prepared according to the general procedure at 60 °C for 12 h, obtained as a colorless oil, 81.1 mg, yield 35%.

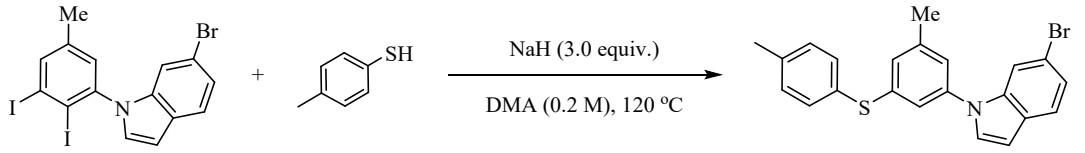
^{1}H NMR (400 MHz, $CDCl_3$): δ 7.42 (d, *J* = 2.0 Hz, 1H), 7.13 (d, *J* = 2.0 Hz, 1H), 7.11 – 7.04 (m, 2H), 6.89 – 6.79 (m, 1H), 6.75 – 6.69 (m, 2H), 3.20 (s, 6H), 3.06 (s, 3H), 2.71 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 168.8, 150.4, 149.5, 145.7, 141.3, 139.2, 133.0, 128.2,

122.4, 122.0, 98.0, 93.8, 40.0, 38.4, 34.7.

HRMS (ESI-TOF): m/z calculated for $C_{18}H_{20}N_3OSI_2[(M+H)^+]$: 579.9411, found: 579.9413.

6-Bromo-1-(3-methyl-5-(*p*-tolylthio)phenyl)-1*H*-indole(11)



10 **1f** **11**

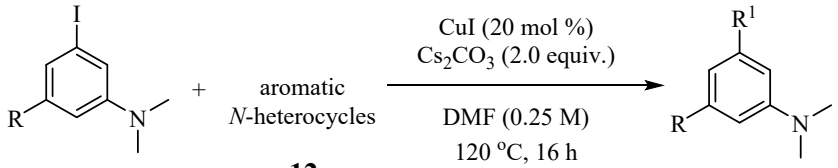
The title compound was prepared according to the general procedure at 120 °C for 12 h, obtained as a white solid, 123.7 mg, yield 76%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 7.54 – 7.46 (m, 2H), 7.46 – 7.39 (m, 2H), 7.23 (dd, *J* = 6.4, 2.4 Hz, 4H), 7.09 (s, 1H), 7.02 (s, 2H), 6.59 (d, *J* = 3.2 Hz, 1H), 2.38 (s, 3H), 2.37 (s, 3H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 140.5, 140.1, 139.7, 138.7, 136.4, 133.5, 130.5, 129.5, 128.4, 128.0, 127.3, 123.6, 122.5, 122.2, 121.0, 116.0, 113.5, 103.6, 21.4, 21.3.

HRMS (ESI-TOF): m/z calculated for $C_{22}H_{18}NSBr[(M+H)^+]$: 408.0416, found: 408.0417.

5. Cu-catalyzed Ullmann reaction to prepare 1,3,5-trisubstituted benzenes

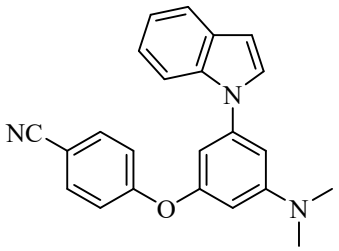


3a or 3n **13a-13f**

General procedure: Under nitrogen, substrates **3a or 3n** (0.2 mmol, 1.0 equiv.), aromatic *N*-heterocycles **12** (0.28 mmol, 1.4 equiv.), Cs_2CO_3 (0.4 mmol, 2.0 equiv.) and CuI (0.04 mmol, 20 mol %) in anhydrous DMF (0.8 mL, 0.25 M) were heated to 120 °C for 16 h. The reaction mixture was then quenched with saturated NH_4Cl solution and extracted with ethyl acetate (20 mL×3). The organic layer was dried over Na_2SO_4, filtered off and concentrated under reduced pressure. The product was purified by

column chromatography using ethyl acetate and petroleum ether as the eluent.

4-(3-(Dimethylamino)-5-(1*H*-indol-1-yl)phenoxy)benzonitrile (13a)



13a

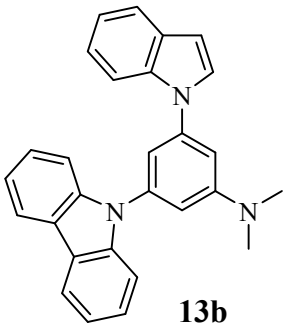
Following the general procedure, the title compound was obtained as a white solid, 30.4 mg，yield 43%.

^{1}H NMR (400 MHz, DMSO-d_6): δ 7.88 – 7.81 (m, 2H), 7.66 (d, *J* = 3.2 Hz, 1H), 7.62 (dd, *J* = 12.4, 8.0 Hz, 2H), 7.24 – 7.15 (m, 3H), 7.14 – 7.06 (m, 1H), 6.72 (t, *J* = 2.0 Hz, 1H), 6.67 (d, *J* = 3.2 Hz, 1H), 6.54 (t, *J* = 2.0 Hz, 1H), 6.47 (t, *J* = 2.0 Hz, 1H), 2.98 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 161.5, 156.6, 152.5, 142.0, 135.7, 134.2, 129.4, 127.8, 122.4, 121.2, 120.5, 118.9, 118.1, 110.7, 106.0, 104.8, 103.9, 103.7, 102.0, 40.5.

HRMS (ESI-TOF): m/z calculated for $C_{23}H_{20}N_3O[(M+H)^+]$: 354.1601, found: 354.1607.

3-(9*H*-Carbazol-9-yl)-5-(1*H*-indol-1-yl)-*N*,*N*-dimethylaniline (13b)



13b

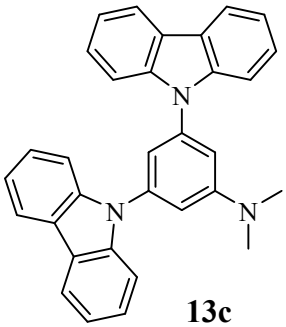
Following the general procedure, the title compound was obtained as a white solid, 71.4 mg，yield 89%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.16 (d, *J* = 7.6 Hz, 2H), 7.71 (dd, *J* = 11.2, 8.8 Hz, 2H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.49 – 7.40 (m, 3H), 7.34 – 7.28 (m, 2H), 7.25 – 7.21 (m, 1H), 7.21 – 7.15 (m, 1H), 7.06 (s, 1H), 6.95 (s, 1H), 6.89 (s, 1H), 6.70 (d, *J* = 3.2 Hz, 1H), 3.08 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.1, 141.9, 140.8, 139.6, 135.8, 129.4, 128.0, 126.0, 123.4, 122.5, 121.2, 120.5, 120.3, 120.0, 110.8, 110.1, 108.9, 107.2, 103.7, 40.7.

HRMS (ESI-TOF): m/z calculated for $C_{28}H_{24}N_3[(M+H)^+]$: 402.1965, found: 402.1961.

3,5-Di(9*H*-carbazol-9-yl)-*N*,*N*-dimethylaniline(13c)



13c

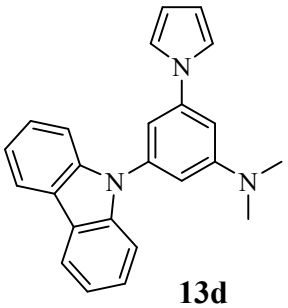
Following the general procedure, the title compound was obtained as a white solid, 74.9 mg，yield 83%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.15 (d, *J* = 7.6 Hz, 4H), 7.60 (d, *J* = 8.4 Hz, 4H), 7.48 – 7.40 (m, 4H), 7.33 – 7.27 (m, 4H), 7.13 (t, *J* = 1.6 Hz, 1H), 7.01 (d, *J* = 1.6 Hz, 2H), 3.09 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.2, 140.8, 139.8, 126.0, 123.5, 120.4, 120.0, 113.6, 110.1, 110.0, 40.9.

HRMS (ESI-TOF): m/z calculated for $C_{32}H_{26}N_3[(M+H)^+]$: 452.2121, found: 452.2119.

3-(9*H*-Carbazol-9-yl)-*N*,*N*-dimethyl-5-(1*H*-pyrrol-1-yl)aniline (13d)



13d

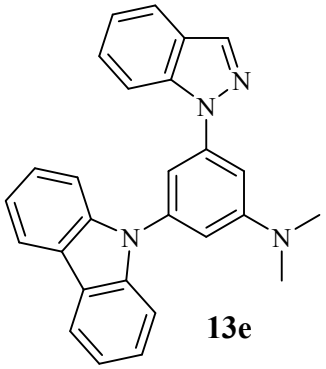
Following the general procedure, the title compound was obtained as a white solid, 53.4 mg，yield 76%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.15 (d, *J* = 7.6 Hz, 2H), 7.52 (d, *J* = 8.4 Hz, 2H), 7.42 (m, 2H), 7.33 – 7.27 (m, 2H), 7.14 (t, *J* = 2.0 Hz, 2H), 6.95 (t, *J* = 1.6 Hz, 1H), 6.80 (dt, *J* = 9.6, 2.0 Hz, 2H), 6.36 (t, *J* = 2.0 Hz, 2H), 3.07 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.1, 142.9, 140.8, 139.5, 126.0, 123.4, 120.3, 119.9, 119.5, 110.4, 110.1, 108.3, 107.5, 103.5, 40.7.

HRMS (ESI-TOF): m/z calculated for $C_{24}H_{22}N_3[(M+H)^+]$: 352.1808, found: 352.1803.

3-(9*H*-Carbazol-9-yl)-5-(1*H*-indazol-1-yl)-*N*,*N*-dimethylaniline (13e)



13e

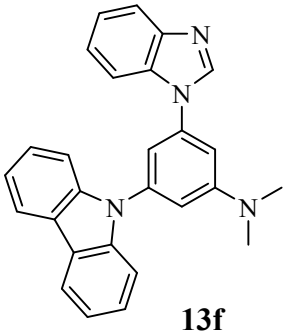
Following the general procedure, the title compound was obtained as a white solid, 51.5 mg，yield 64%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.23 (d, *J* = 0.8 Hz, 1H), 8.16 (d, *J* = 7.6 Hz, 2H), 7.87 – 7.77 (m, 2H), 7.58 (d, *J* = 8.4 Hz, 2H), 7.43 (m, 3H), 7.33 – 7.26 (m, 3H), 7.25 – 7.22 (m, 1H), 7.21 – 7.17 (m, 1H), 6.88 (t, *J* = 2.0 Hz, 1H), 3.10 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.2, 142.2, 140.9, 139.2, 138.8, 135.5, 127.3, 126.0, 125.5, 123.4, 121.6, 121.4, 120.3, 120.3, 119.9, 110.7, 110.1, 109.2, 109.0, 105.8, 40.7.

HRMS (ESI-TOF): m/z calculated for $C_{27}H_{23}N_4[(M+H)^+]$: 403.1917, found: 403.1912.

3-(1*H*-Benzo[*d*]imidazol-1-yl)-5-(9*H*-carbazol-9-yl)-*N*,*N*-dimethylaniline (13f)



13f

Following the general procedure, the title compound was obtained as a colorless oil, 37.8 mg，yield 47%.

^{1}H NMR (400 MHz, $CDCl_3$): δ 8.36 (s, 1H), 8.16 (d, *J* = 7.6 Hz, 2H), 7.93 (s, 1H), 7.68 (s, 1H), 7.54 (d, *J* = 8.4 Hz, 2H), 7.48 – 7.42 (m, 2H), 7.41 – 7.35 (m, 2H), 7.31 (t, *J* = 7.2 Hz, 2H), 7.04 (s, 1H), 6.97 (s, 1H), 6.86 (s, 1H), 3.10 (s, 6H).

^{13}C NMR (151 MHz, $CDCl_3$): δ 152.5, 140.7, 140.1, 126.1, 124.3, 123.5, 120.5, 120.2, 111.0, 110.2, 109.9, 109.6, 106.2, 40.5.

HRMS (ESI-TOF): m/z calculated for $C_{27}H_{23}N_4[(M+H)^+]$: 403.1917, found: 403.1915.

6. Reference

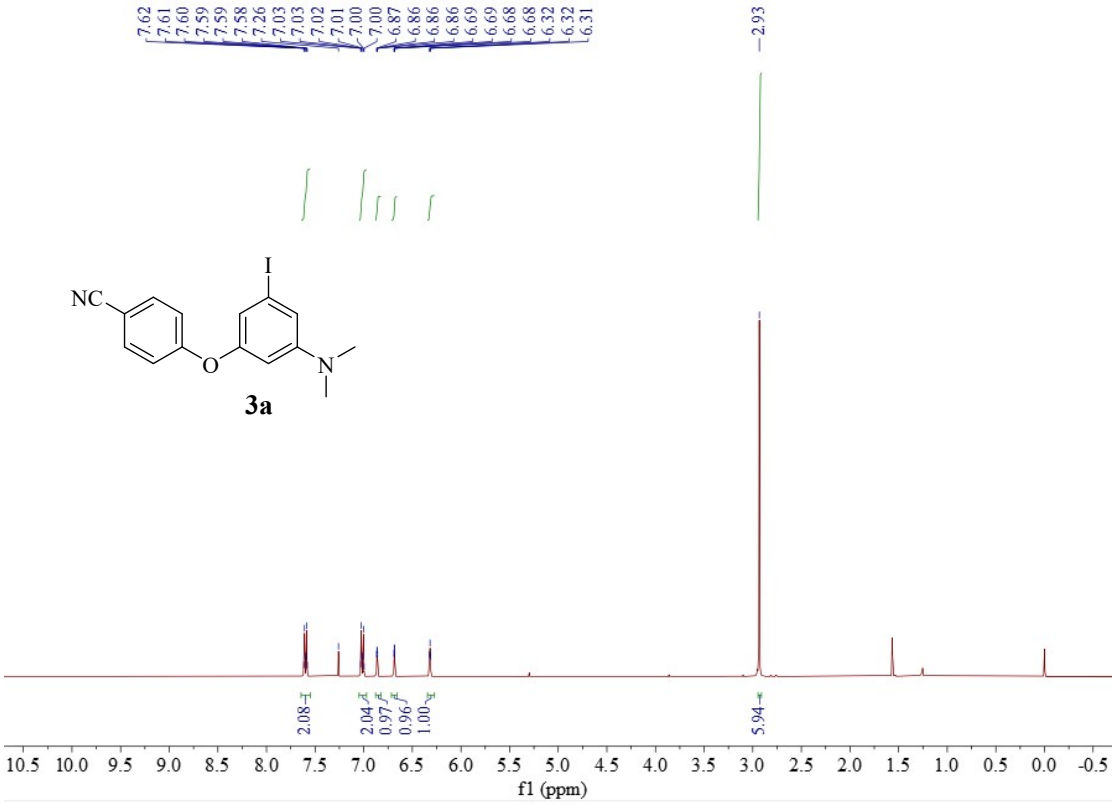
[1] Bhattacharjee J, Das S, Kottalanka R K, *et al*. Hydroamination of carbodiimides, isocyanates, and isothiocyanates by a bis (phosphinoselenoic amide) supported titanium (IV) complex[J]. Dalton Transactions, 2016, 45(44): 17824-17832.

[2] Bin Y, Junfeng Y and Junliang Z. Synthesis of Axially Chiral Anilides Enabled by a Palladium/Ming-Phos-Catalyzed Desymmetric Sonogashira Reaction[J]. Chinese Journal of Chemistry, 2022, 40(3): 317-322.

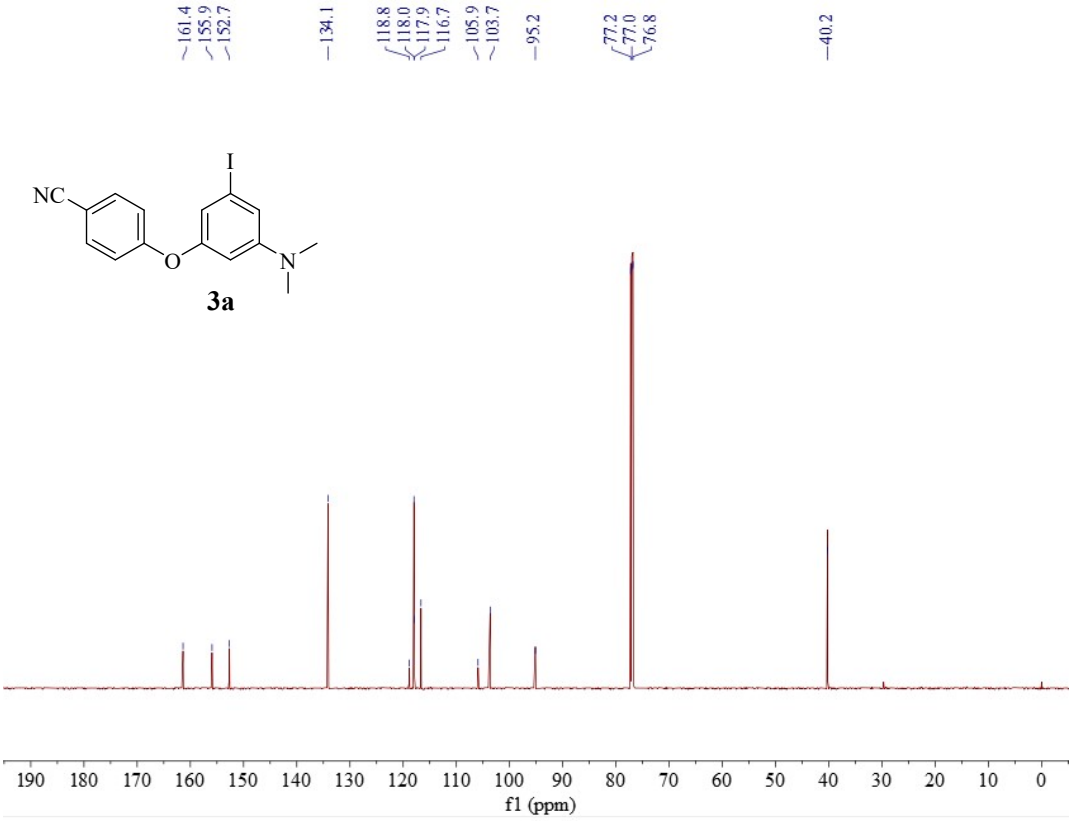
[3] Al-Zoubi R M, Futouh H A and McDonald R. A Mild and Convenient Synthesis of 1,2,3-Triiodoarenes via Consecutive Iodination/Diazotization/Iodination Strategy[J]. Australian Journal of Chemistry, 2013, 66(12): 1570-1575.

7. NMR spectra

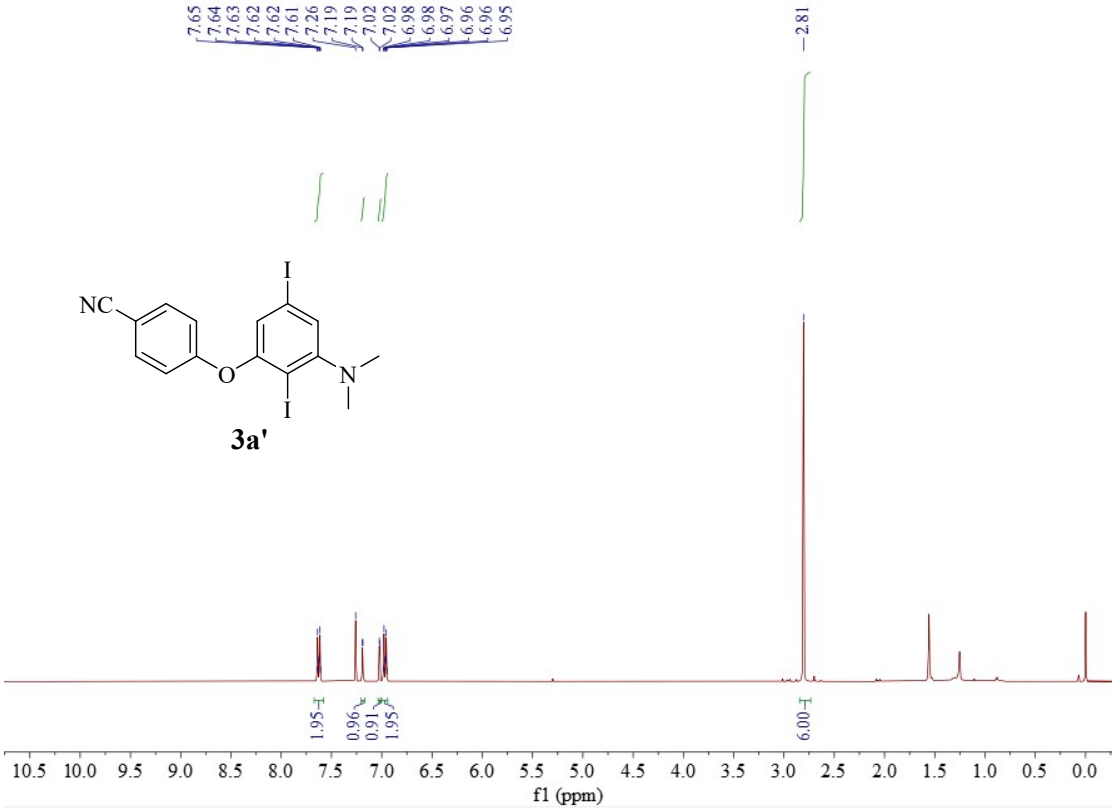
^{1}H NMR (400 MHz, $CDCl_3$)



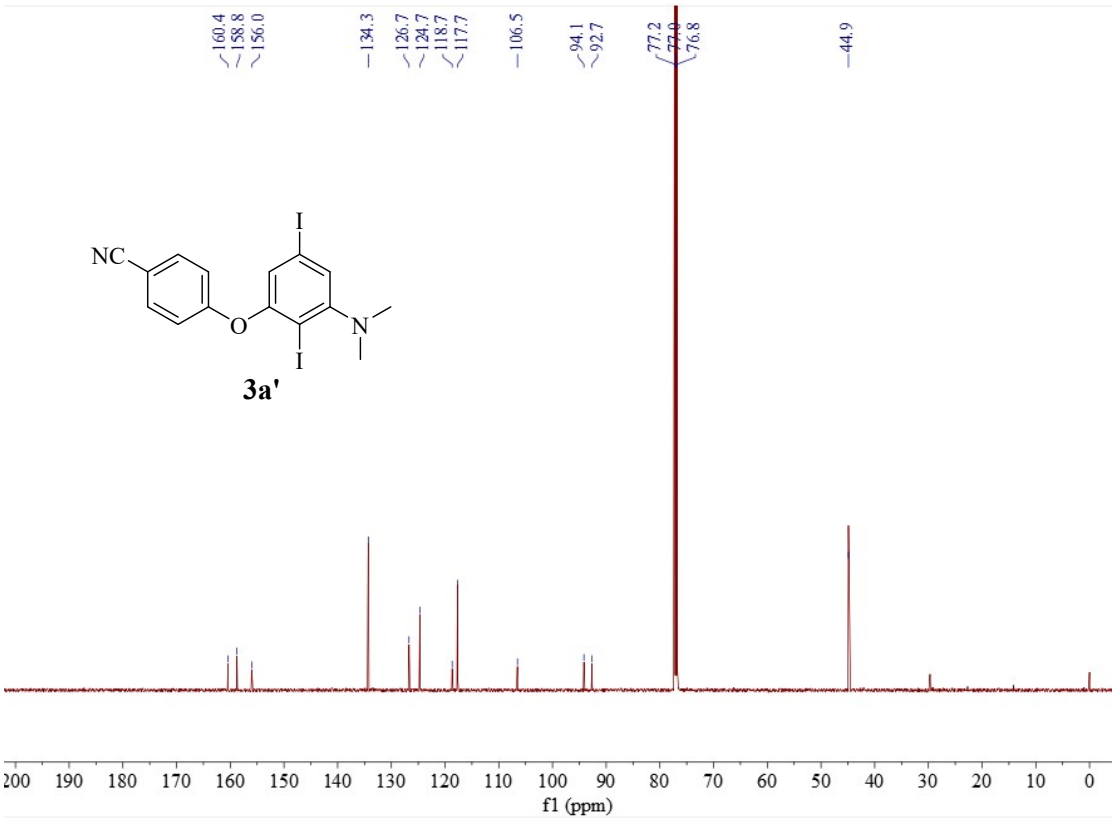
^{13}C NMR (151 MHz, $CDCl_3$)



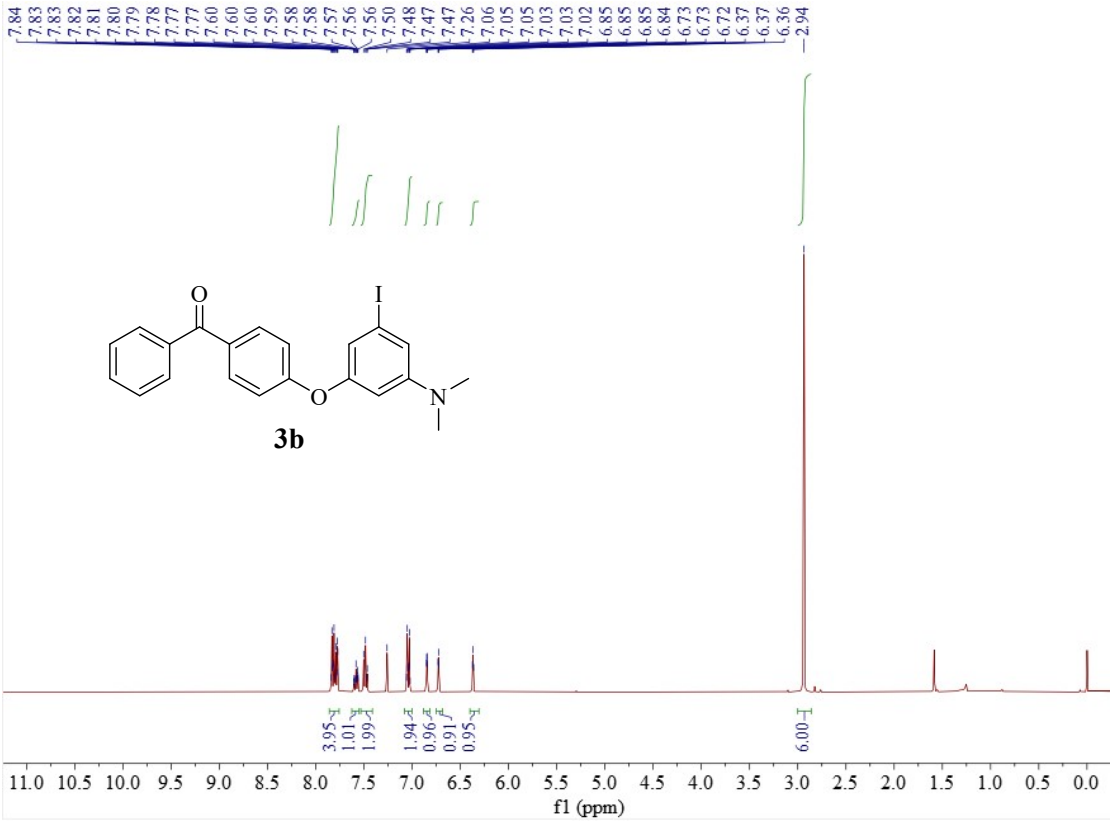
^{1}H NMR (400 MHz, $CDCl_3$)



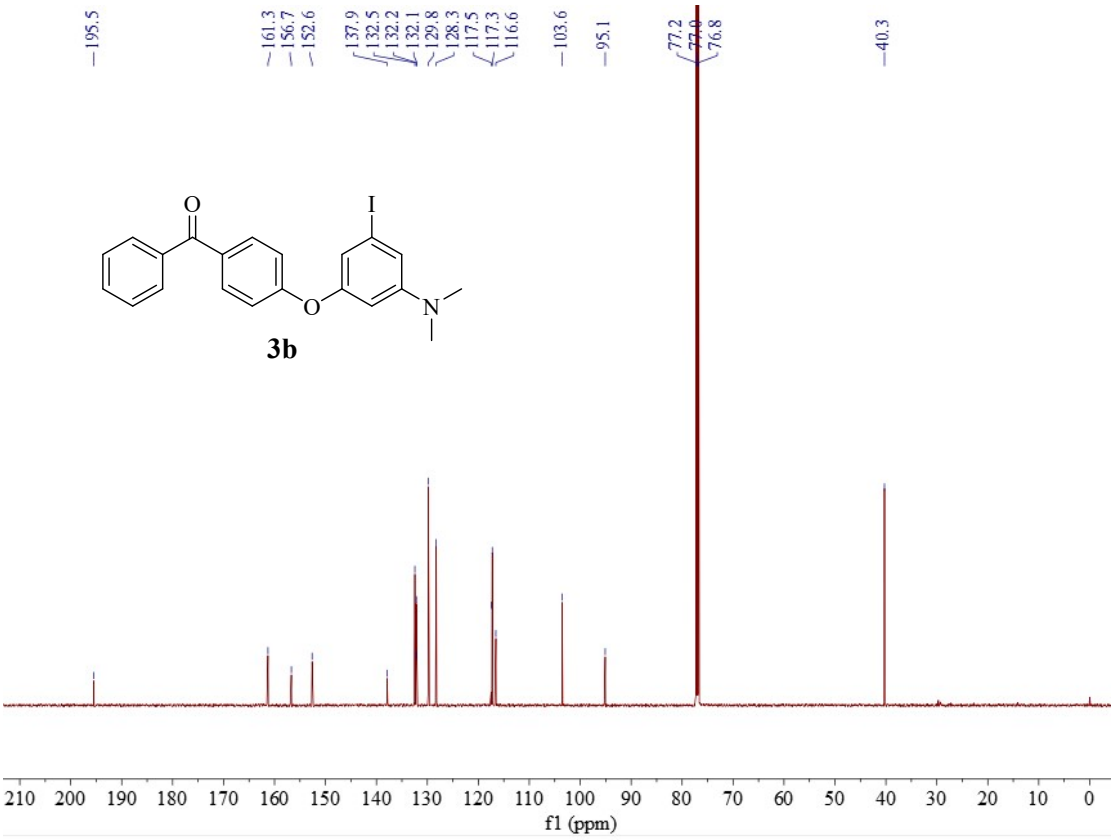
^{13}C NMR (151 MHz, $CDCl_3$)



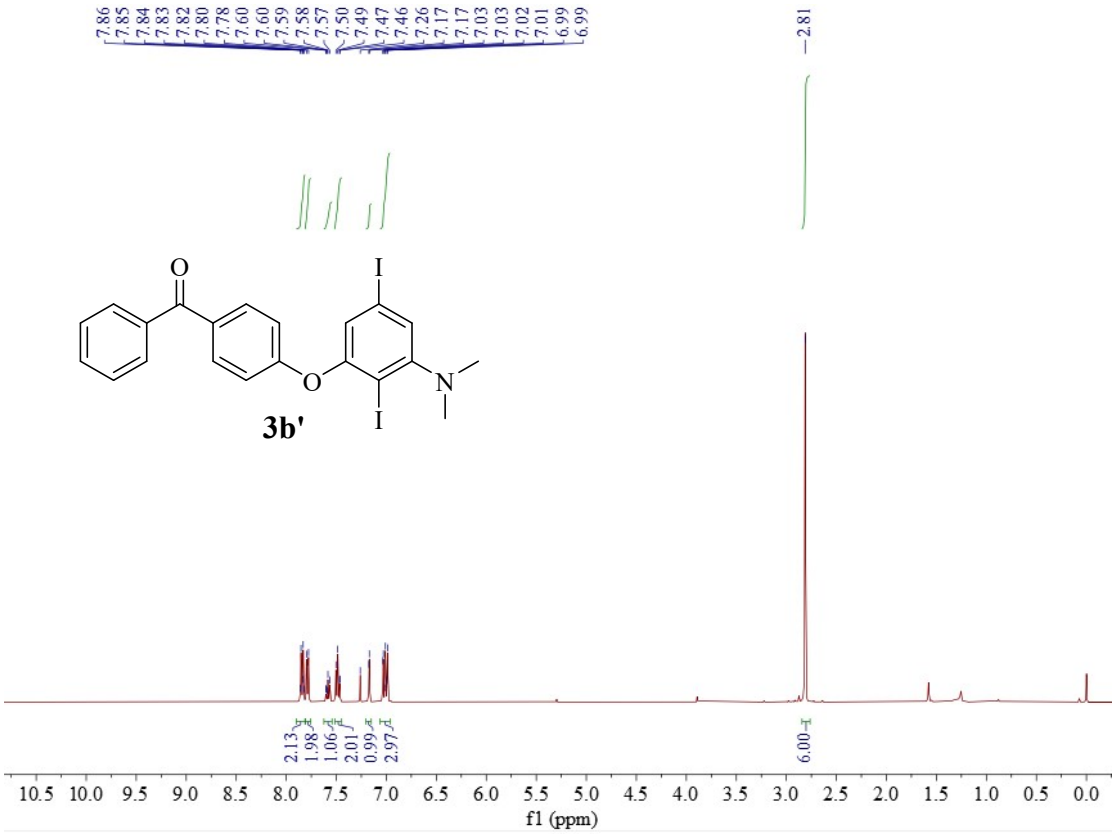
^{1}H NMR (400 MHz, $CDCl_3$)



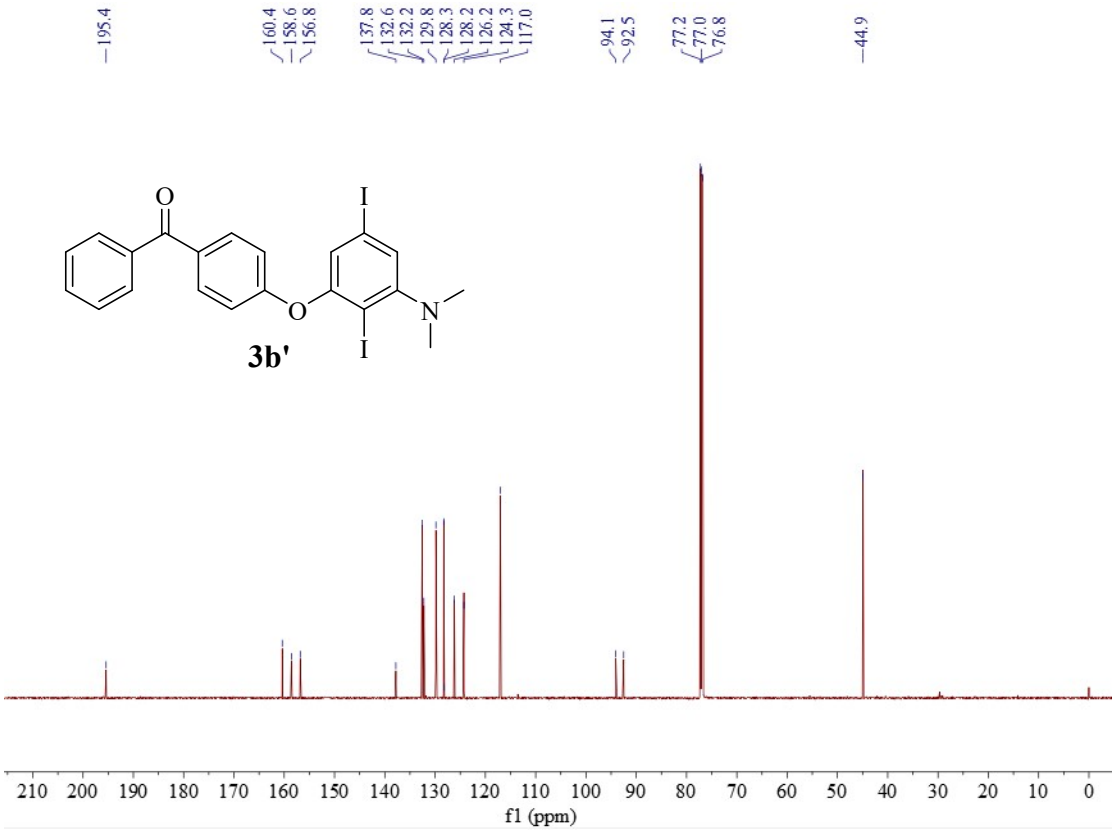
^{13}C NMR (151 MHz, $CDCl_3$)



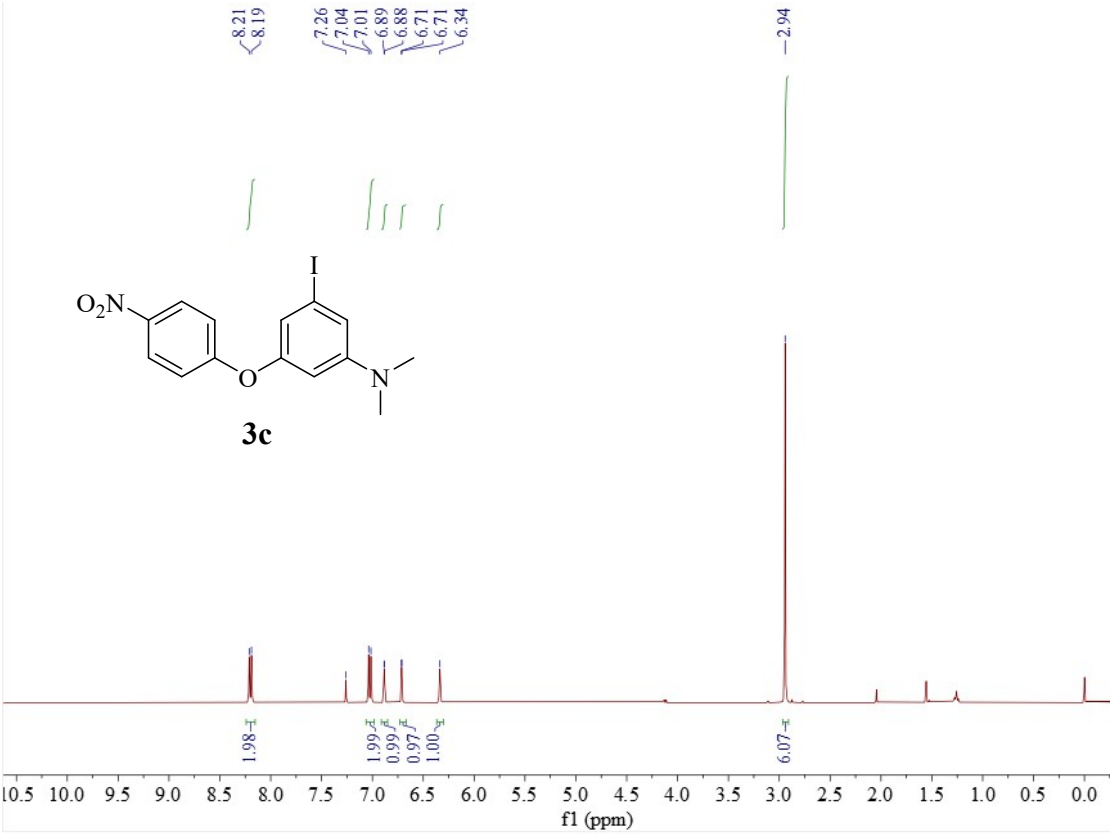
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (151 MHz, $CDCl_3$)

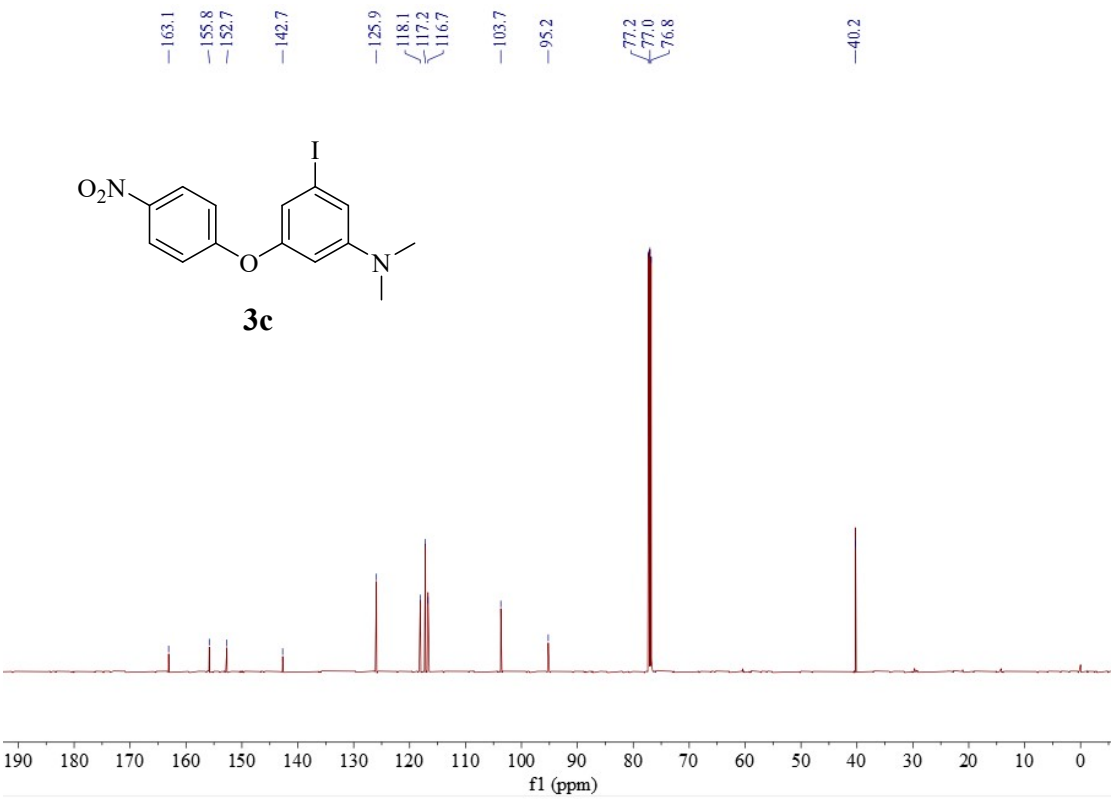


^{1}H NMR (400 MHz, $CDCl_3$)



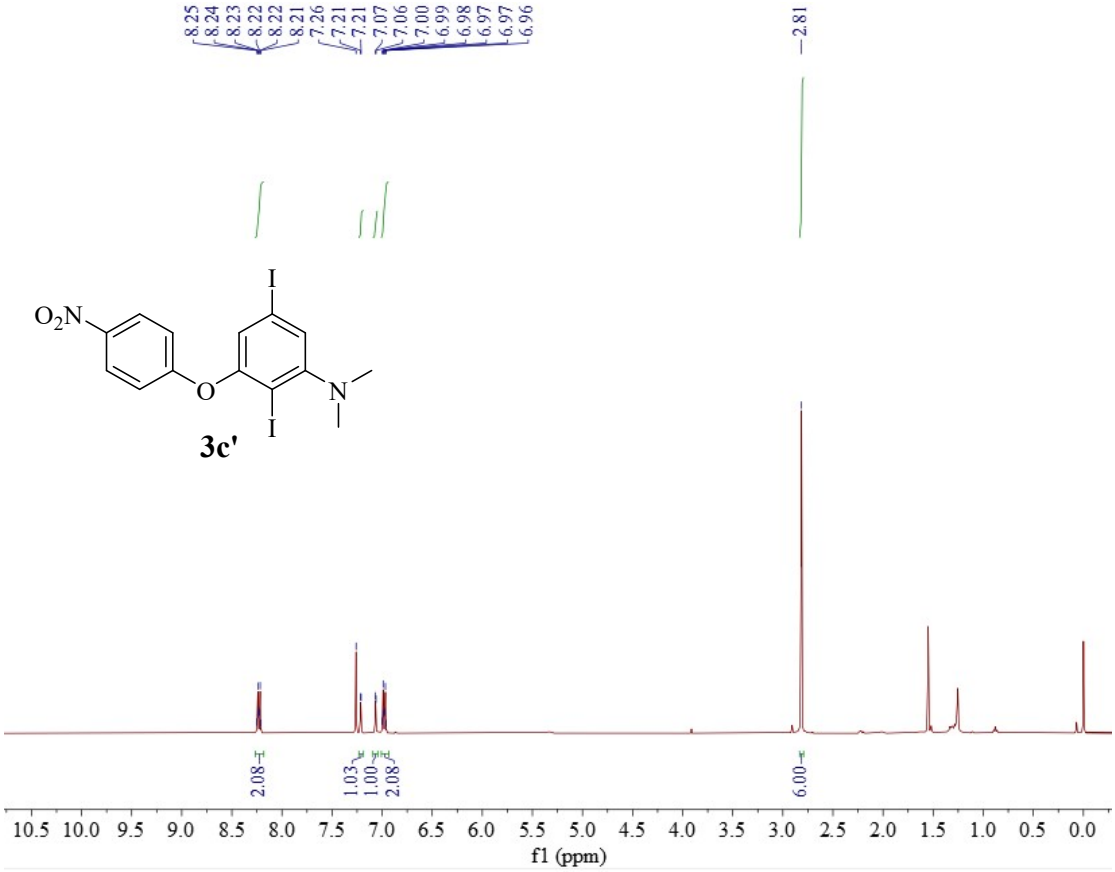
3c

^{13}C NMR (151 MHz, $CDCl_3$)

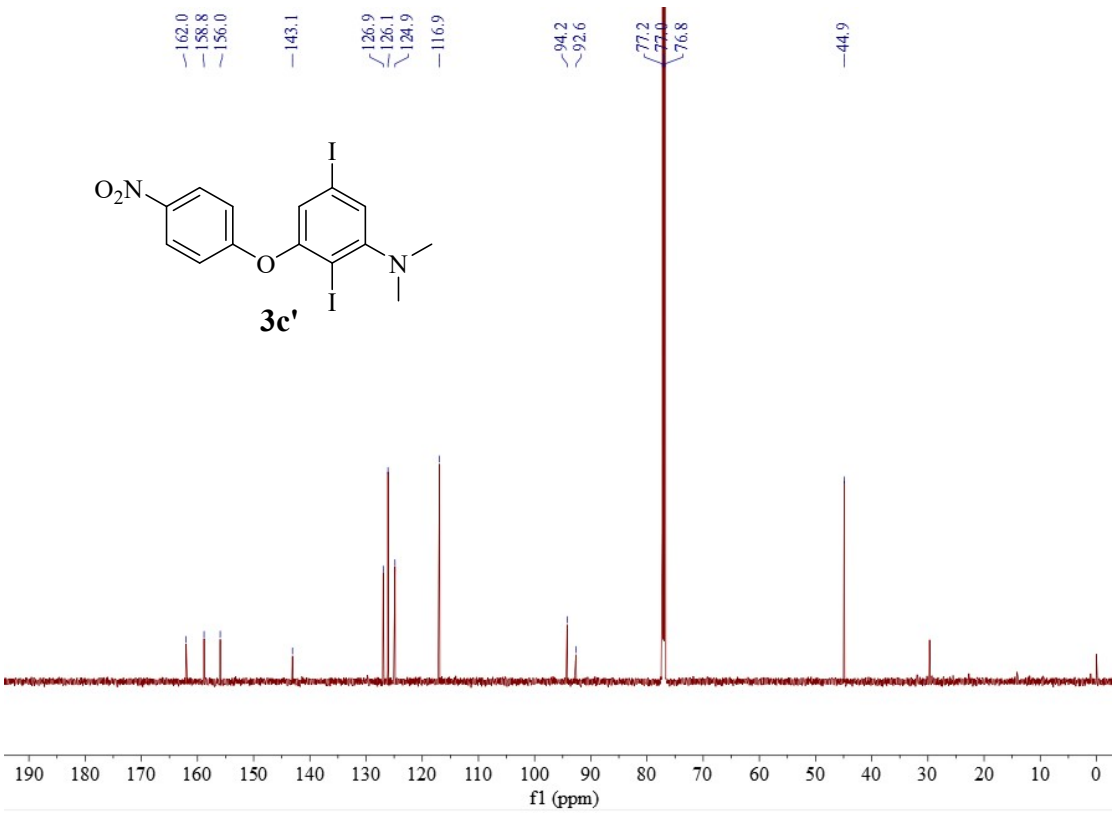


3c

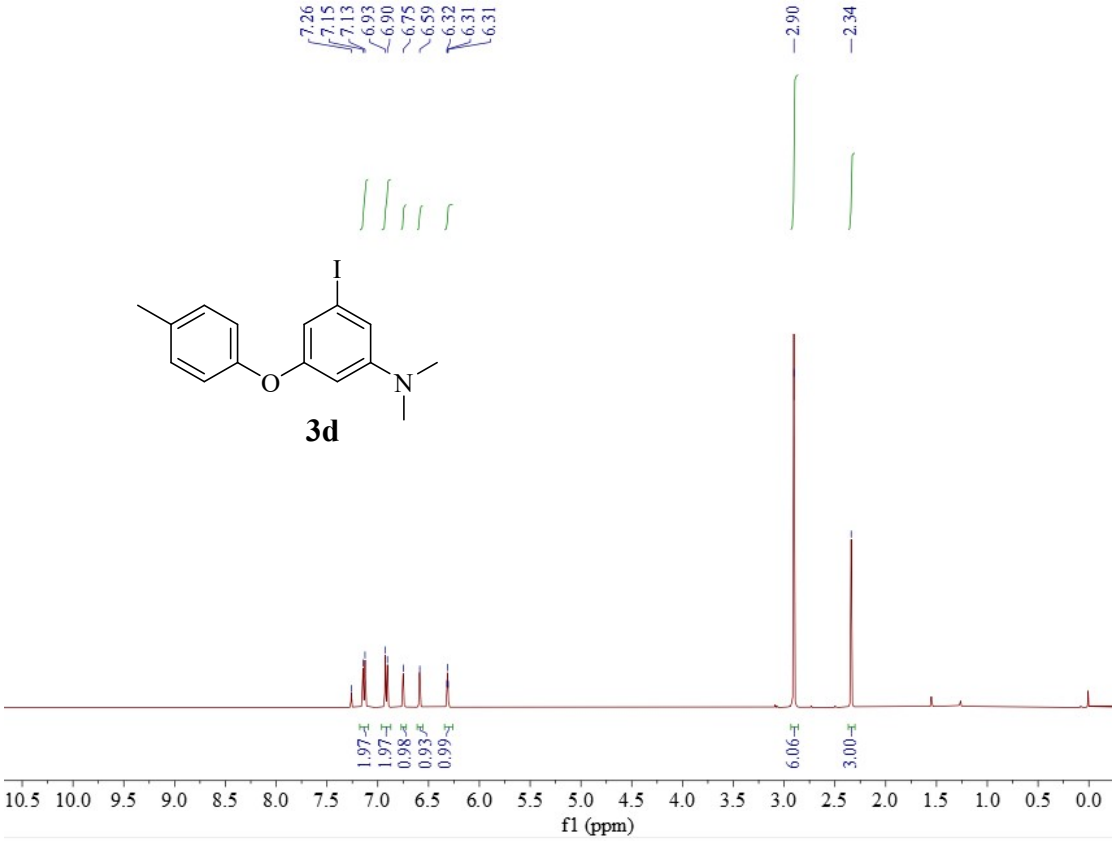
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (151 MHz, $CDCl_3$)

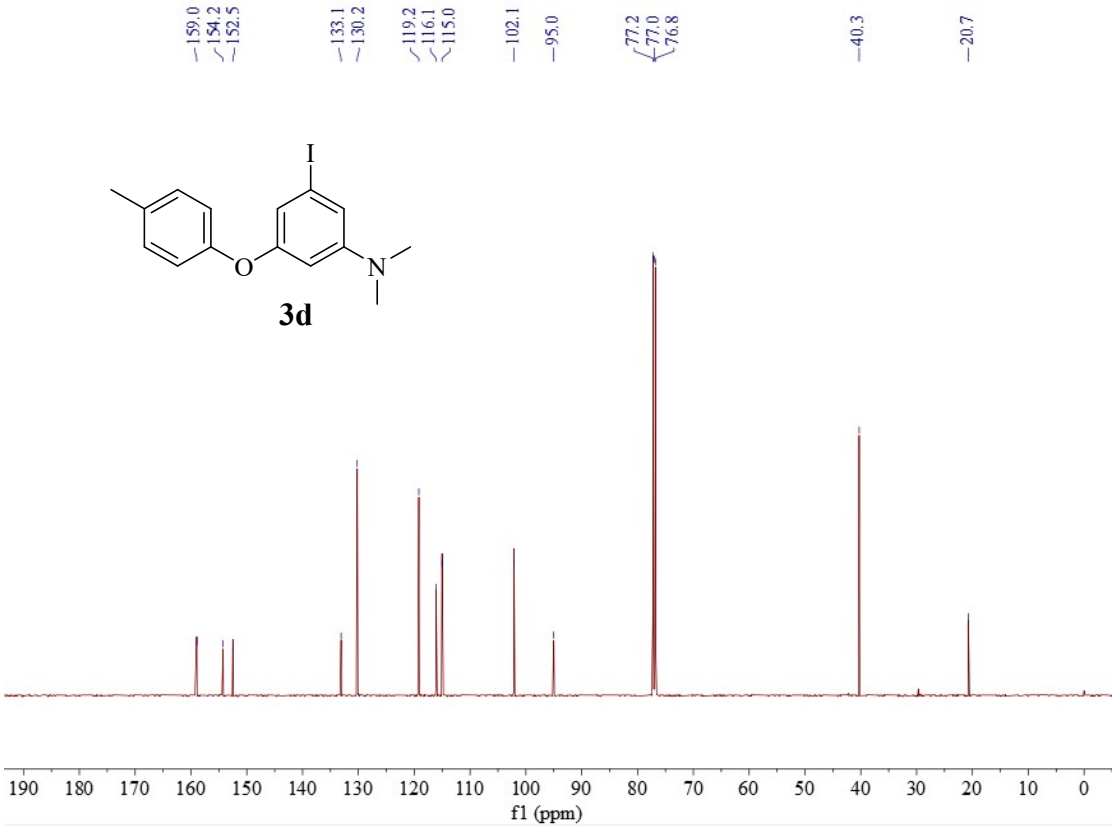


^{1}H NMR (400 MHz, $CDCl_3$)



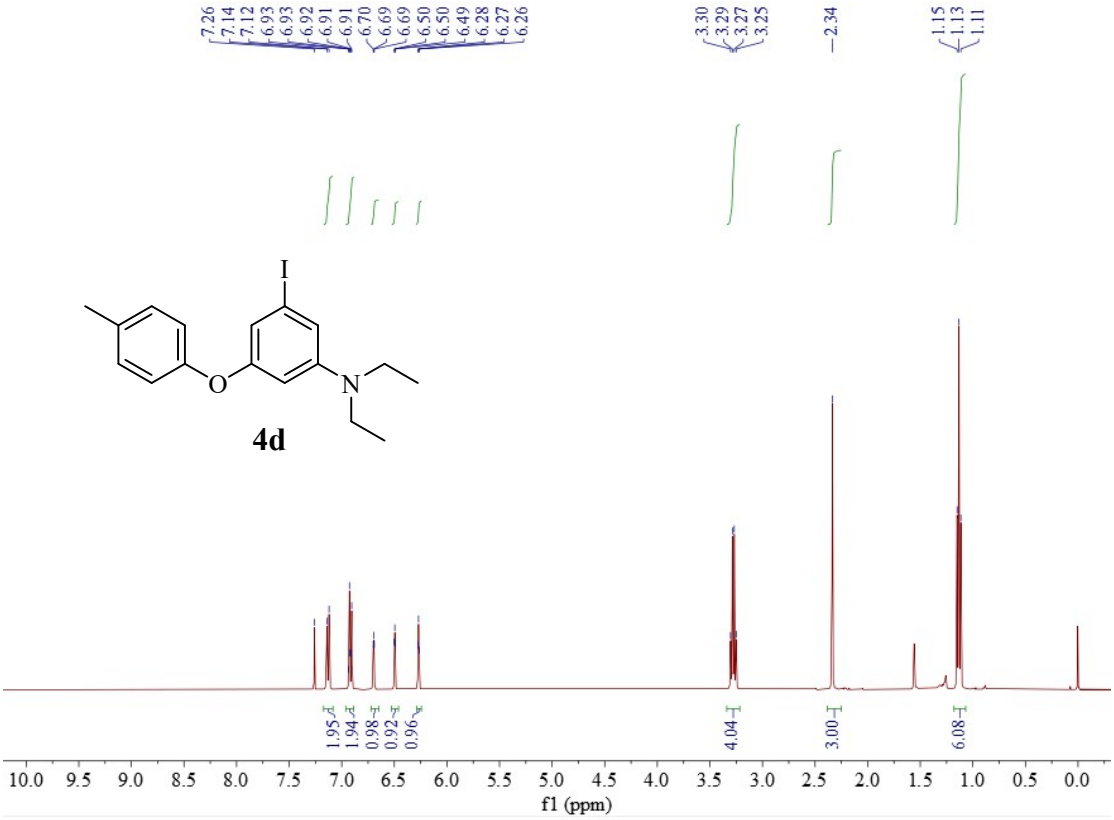
3d

^{13}C NMR (151 MHz, $CDCl_3$)

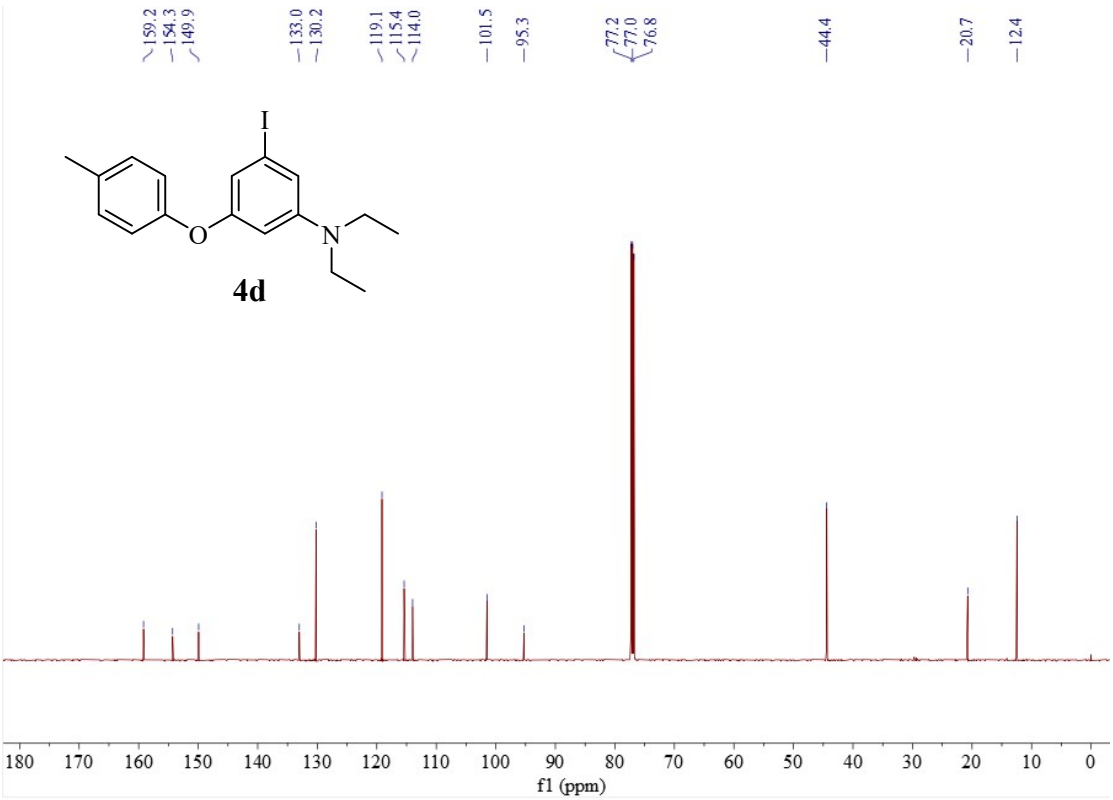


3d

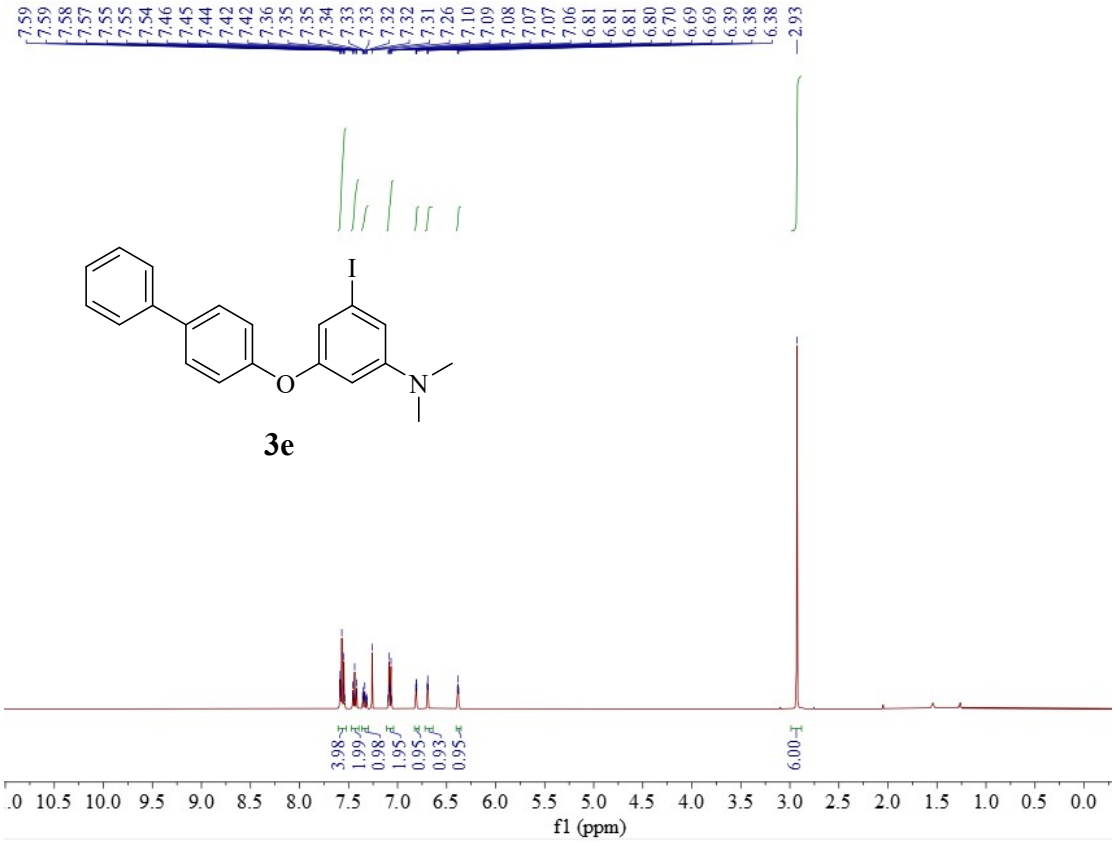
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (151 MHz, $CDCl_3$)

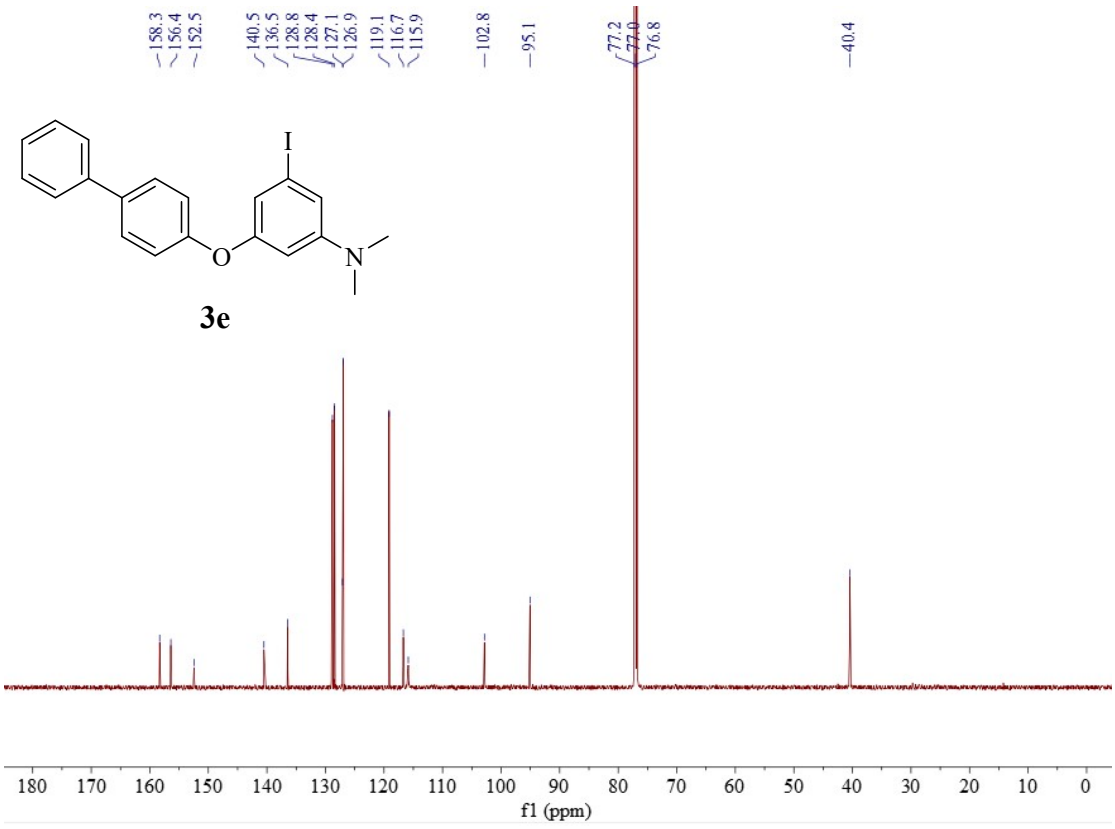


^{1}H NMR (400 MHz, $CDCl_3$)



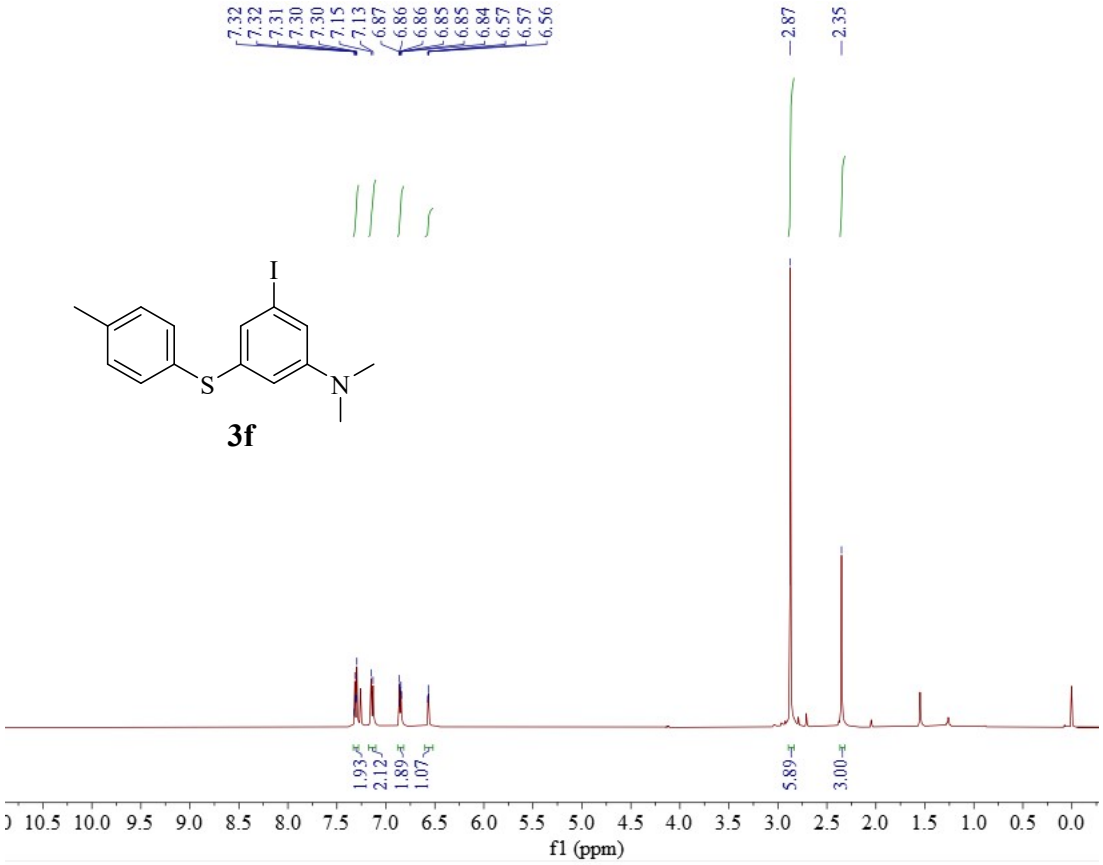
3e

^{13}C NMR (151 MHz, $CDCl_3$)



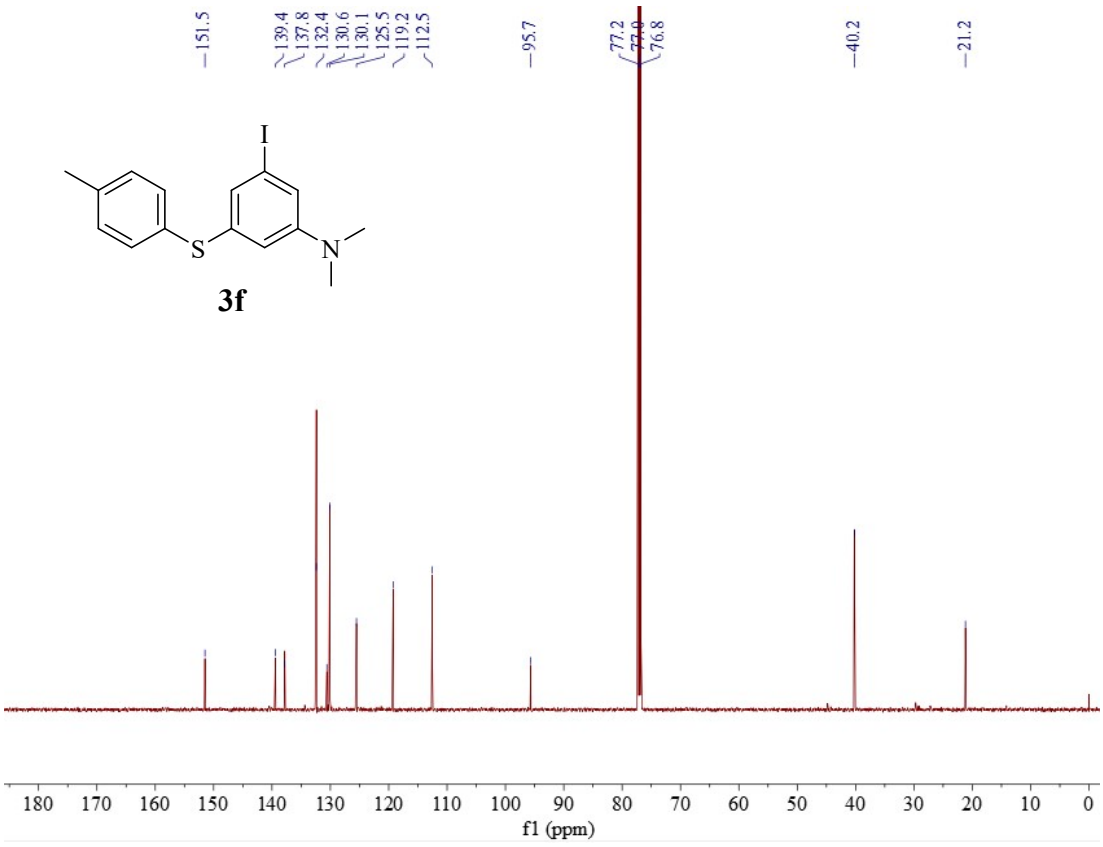
3e

^{1}H NMR (400 MHz, $CDCl_3$)



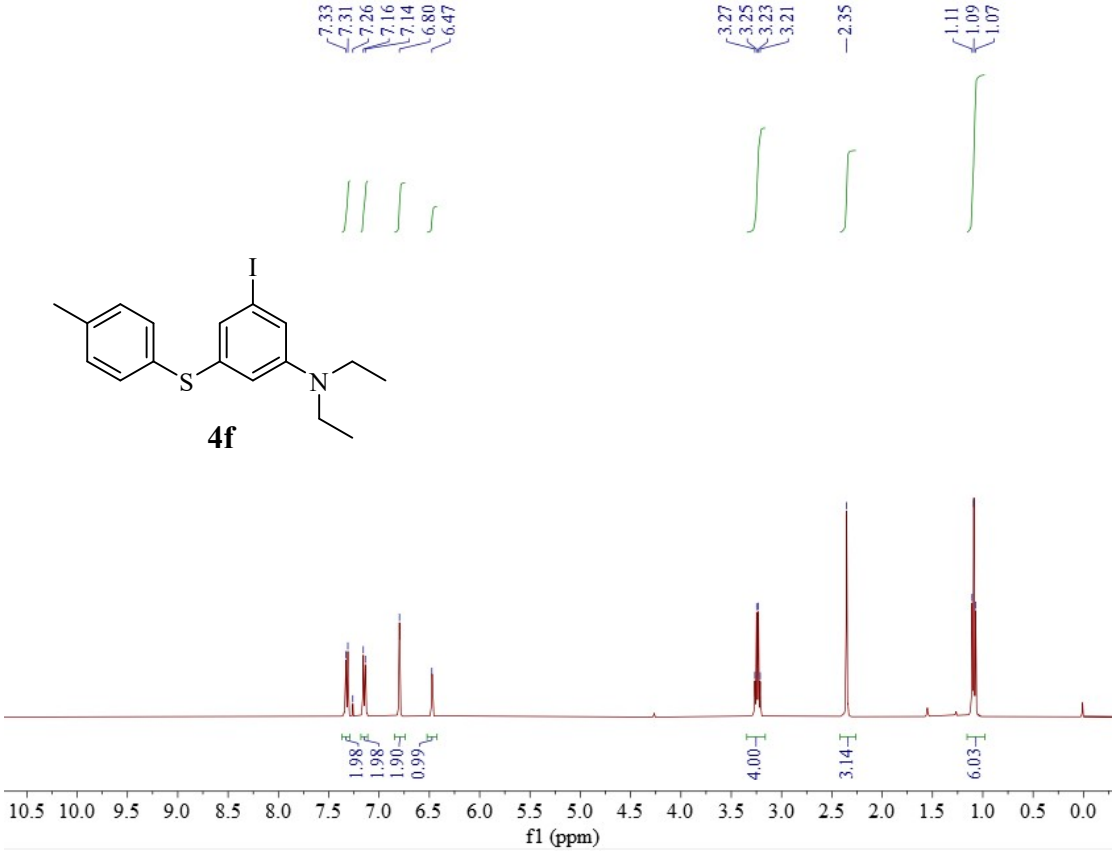
3f

^{13}C NMR (151 MHz, $CDCl_3$)



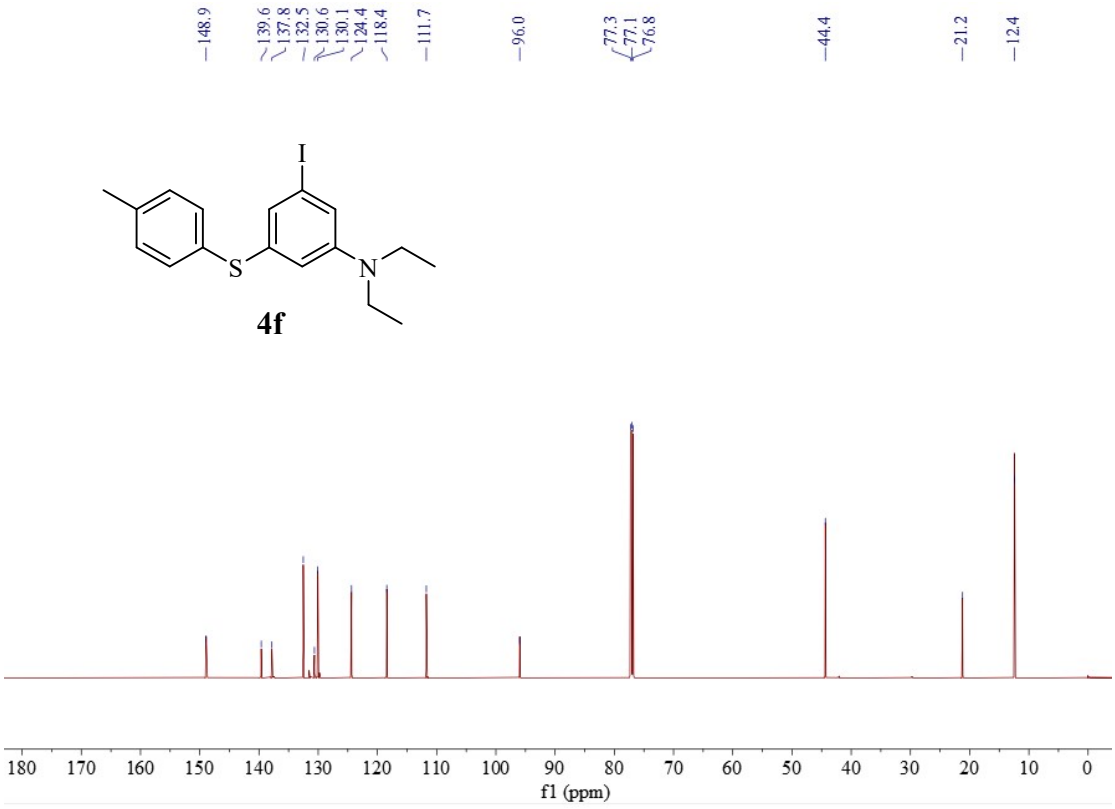
3f

^{1}H NMR (400 MHz, $CDCl_3$)



4f

^{13}C NMR (151 MHz, $CDCl_3$)



4f

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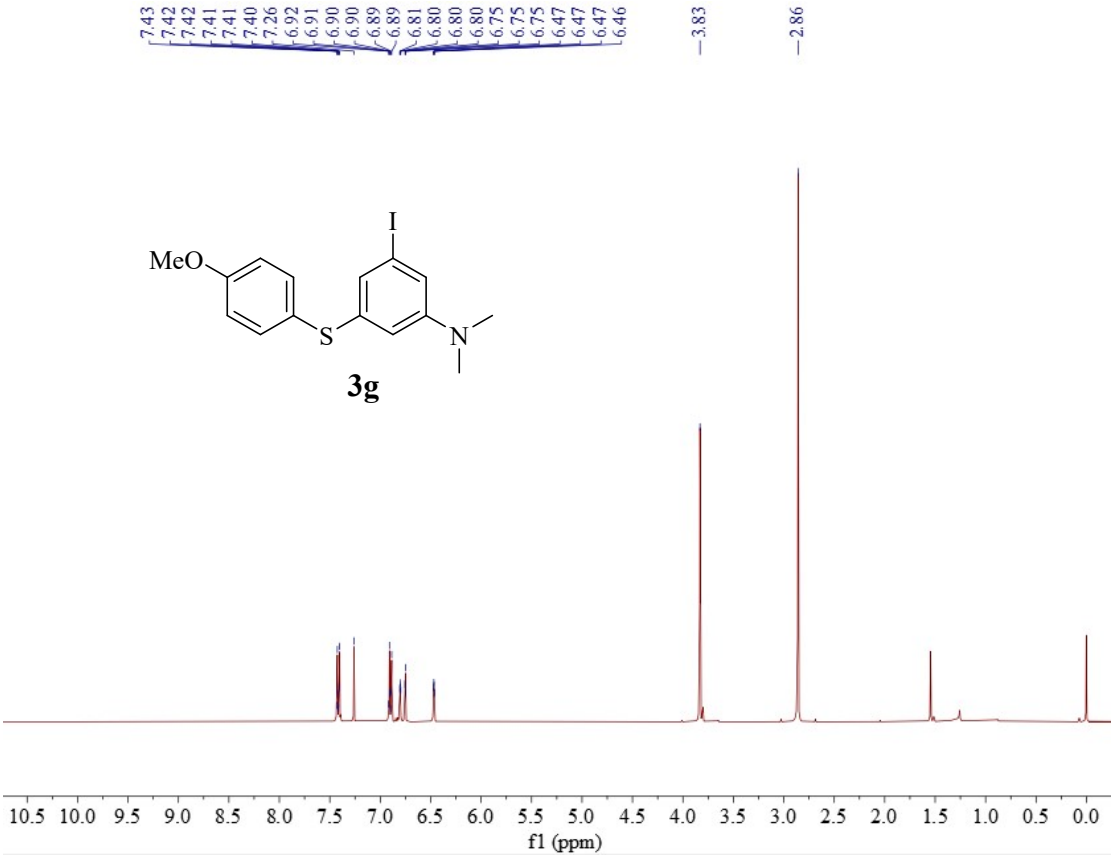
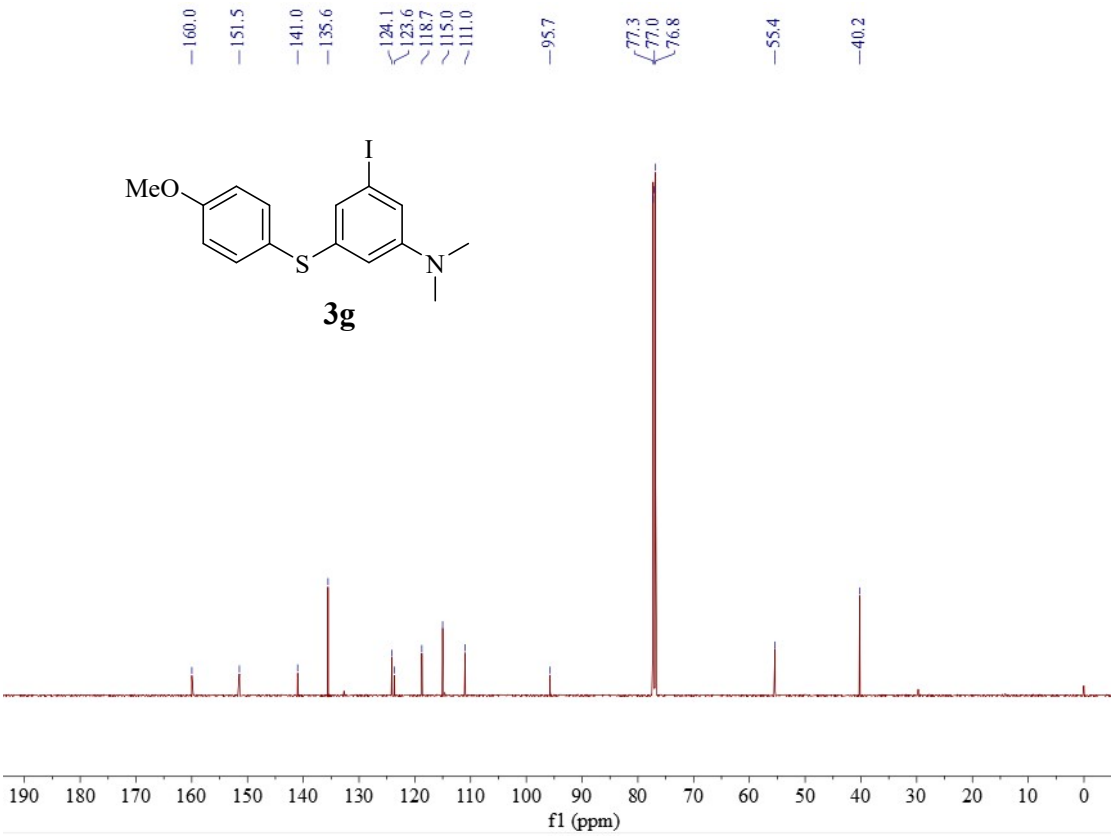


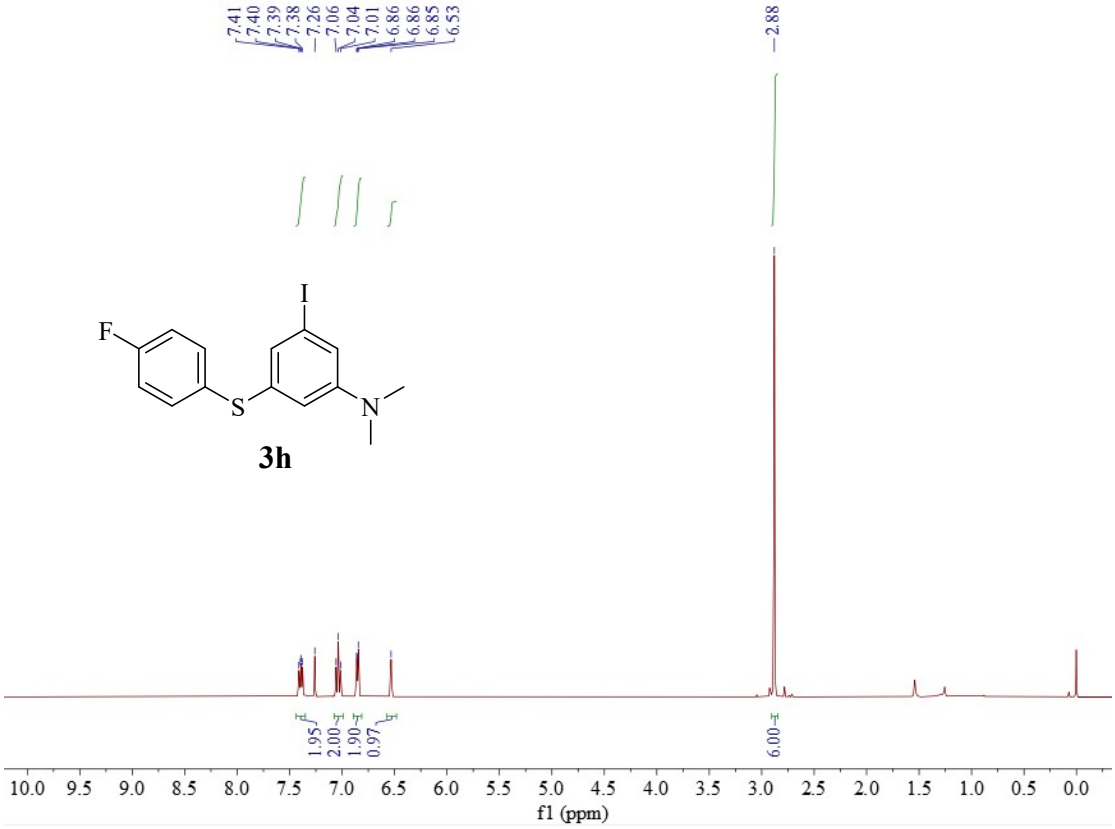
3g

^{13}C NMR (151 MHz, $CDCl_3$)



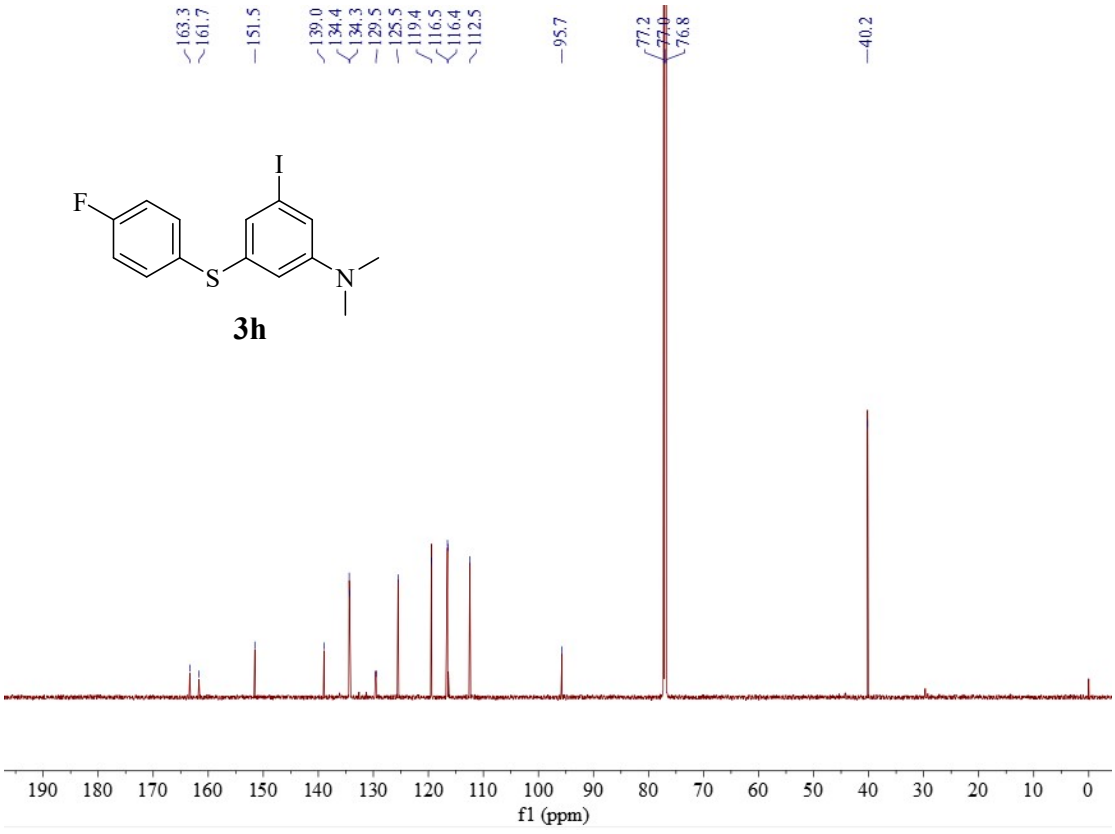
3g

^{1}H NMR (400 MHz, $CDCl_3$)



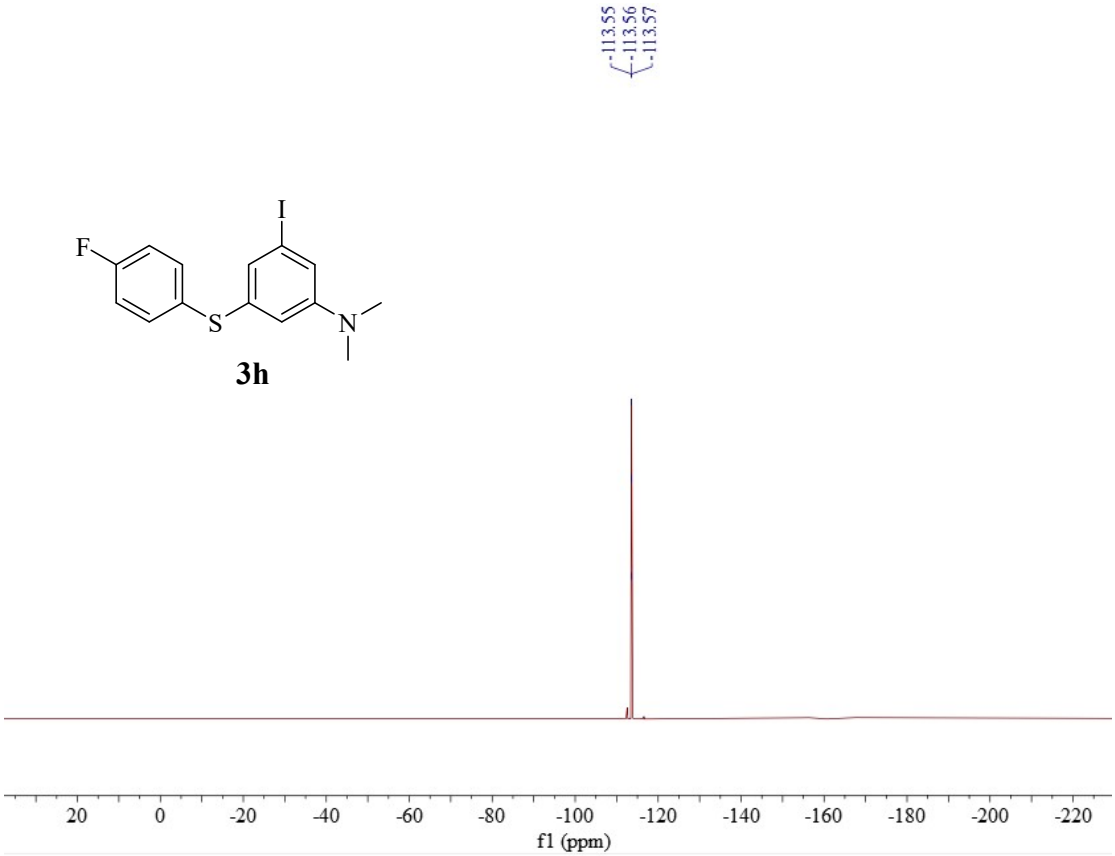
3h

^{13}C NMR (151 MHz, $CDCl_3$)



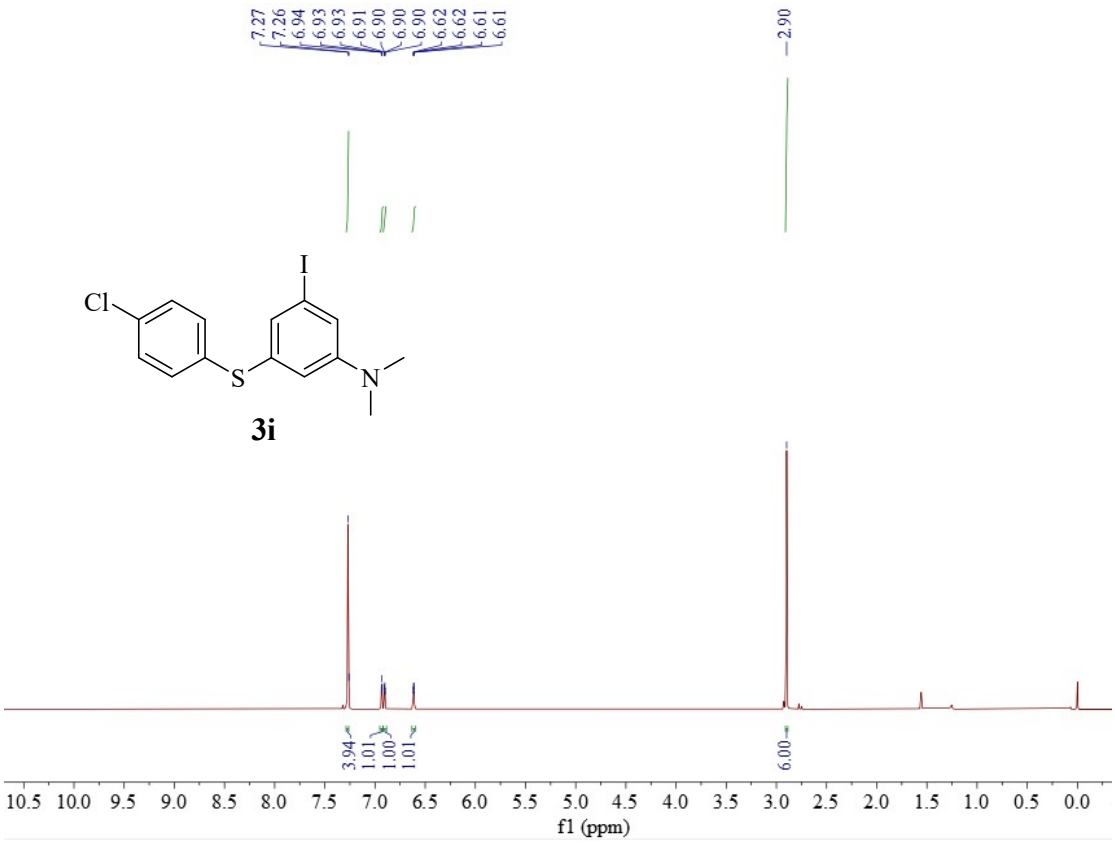
3h

^{19}F NMR (565 MHz, $CDCl_3$)



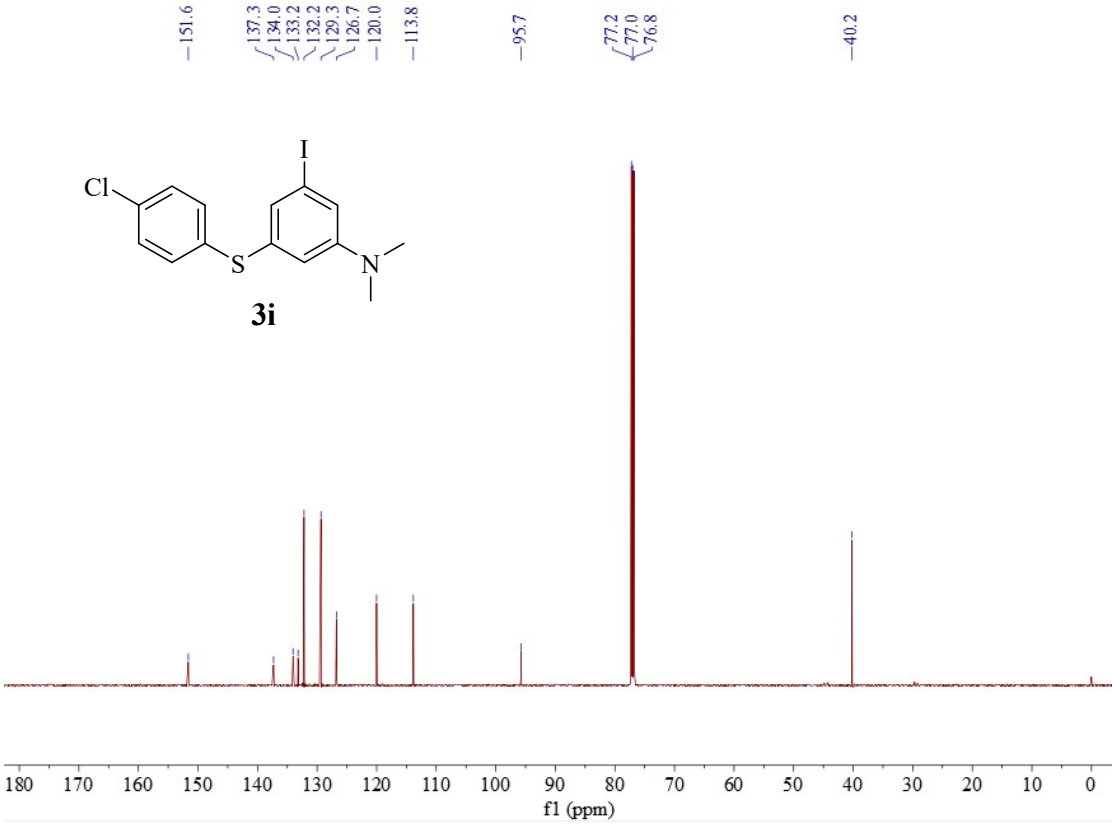
3h

^{1}H NMR (400 MHz, $CDCl_3$)

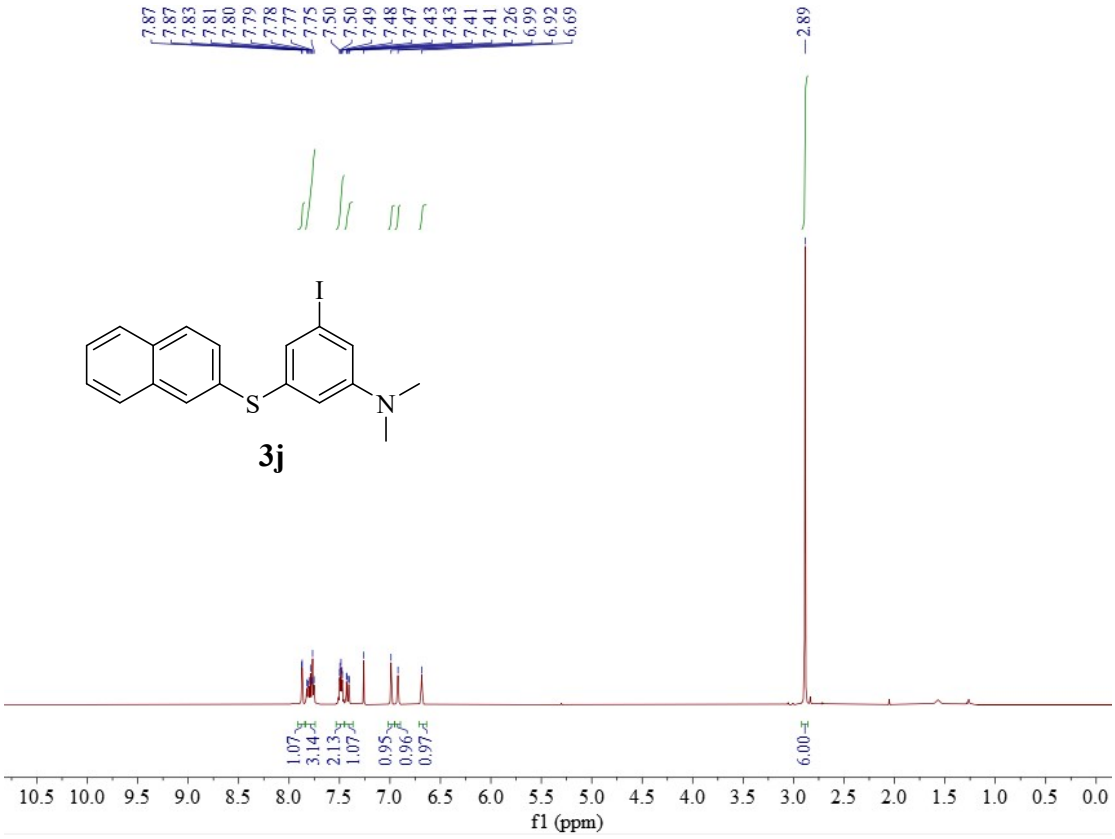


3i

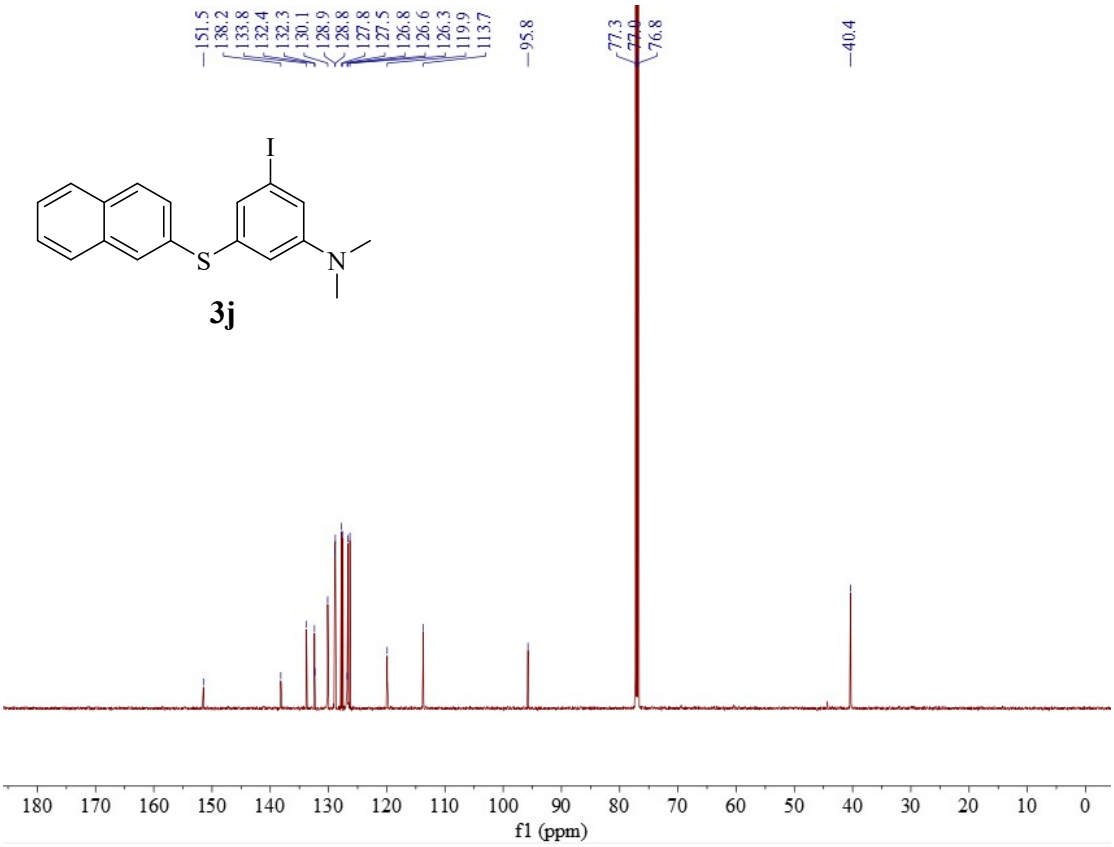
^{13}C NMR (151 MHz, $CDCl_3$)



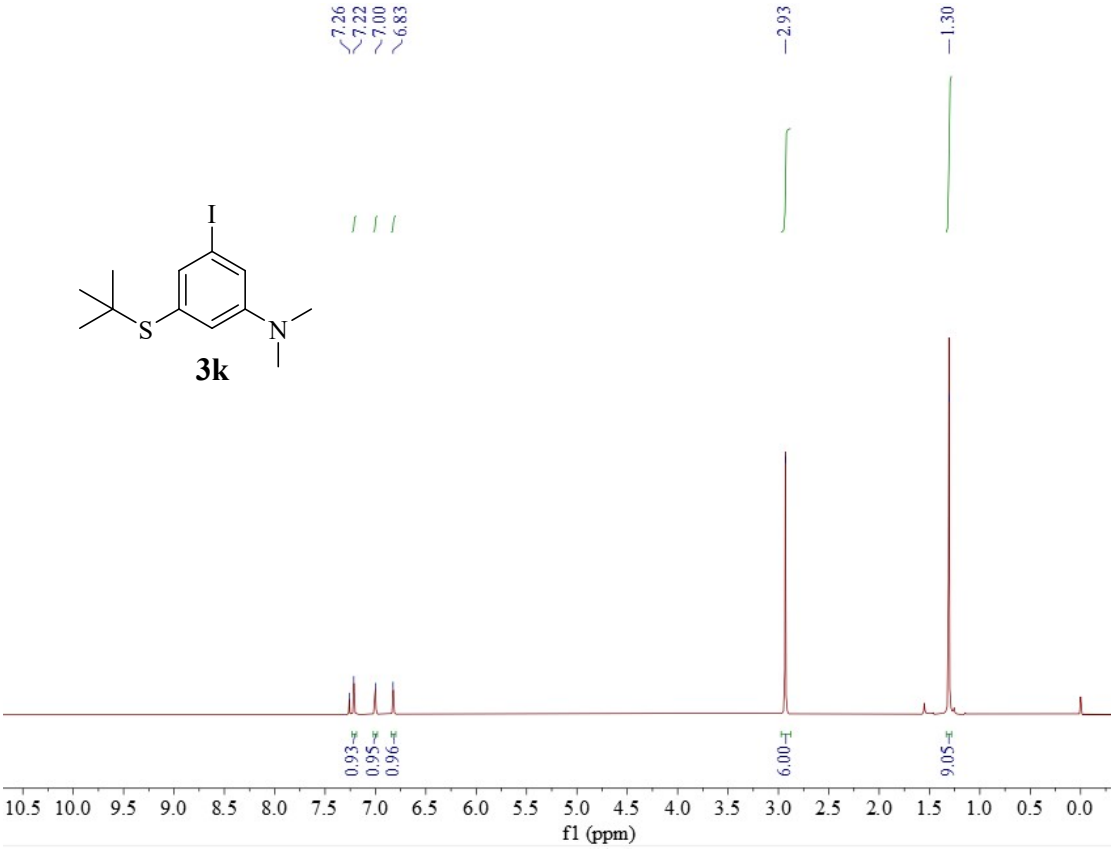
^{1}H NMR (400 MHz, $CDCl_3$)



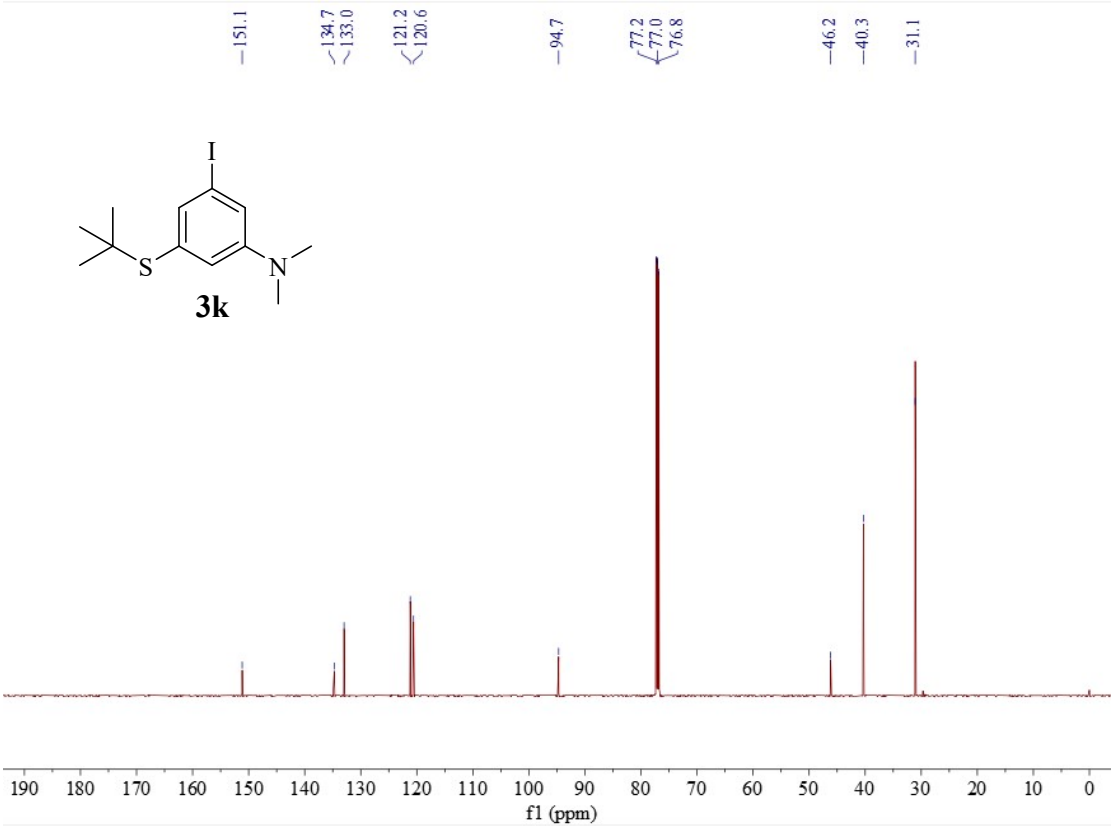
^{13}C NMR (151 MHz, $CDCl_3$)



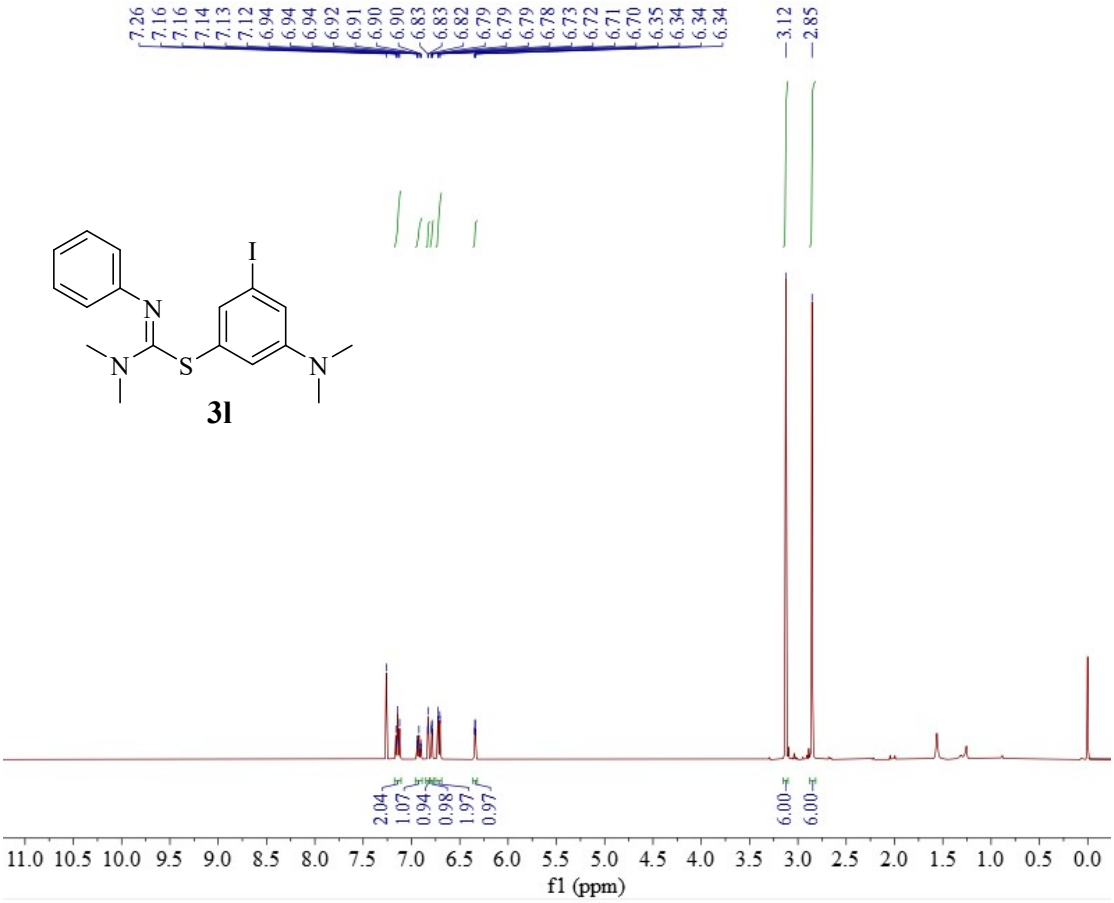
^{1}H NMR (400 MHz, $CDCl_3$)



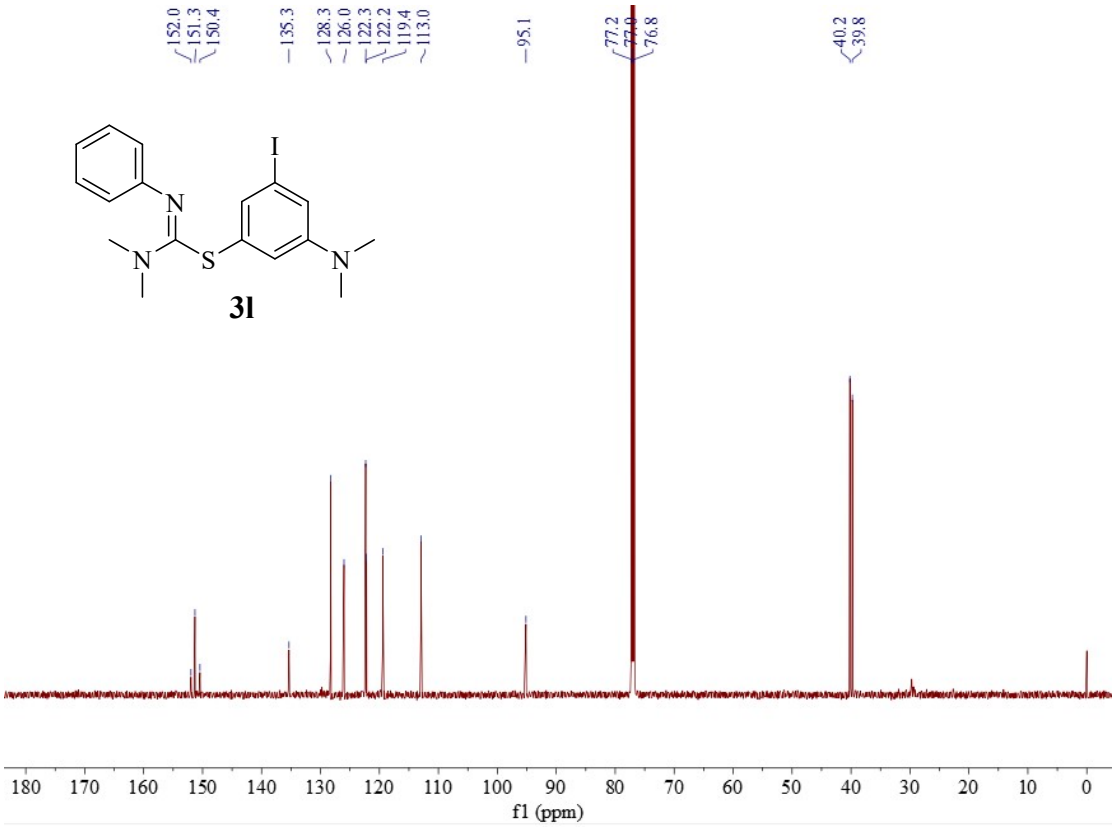
^{13}C NMR (151 MHz, $CDCl_3$)



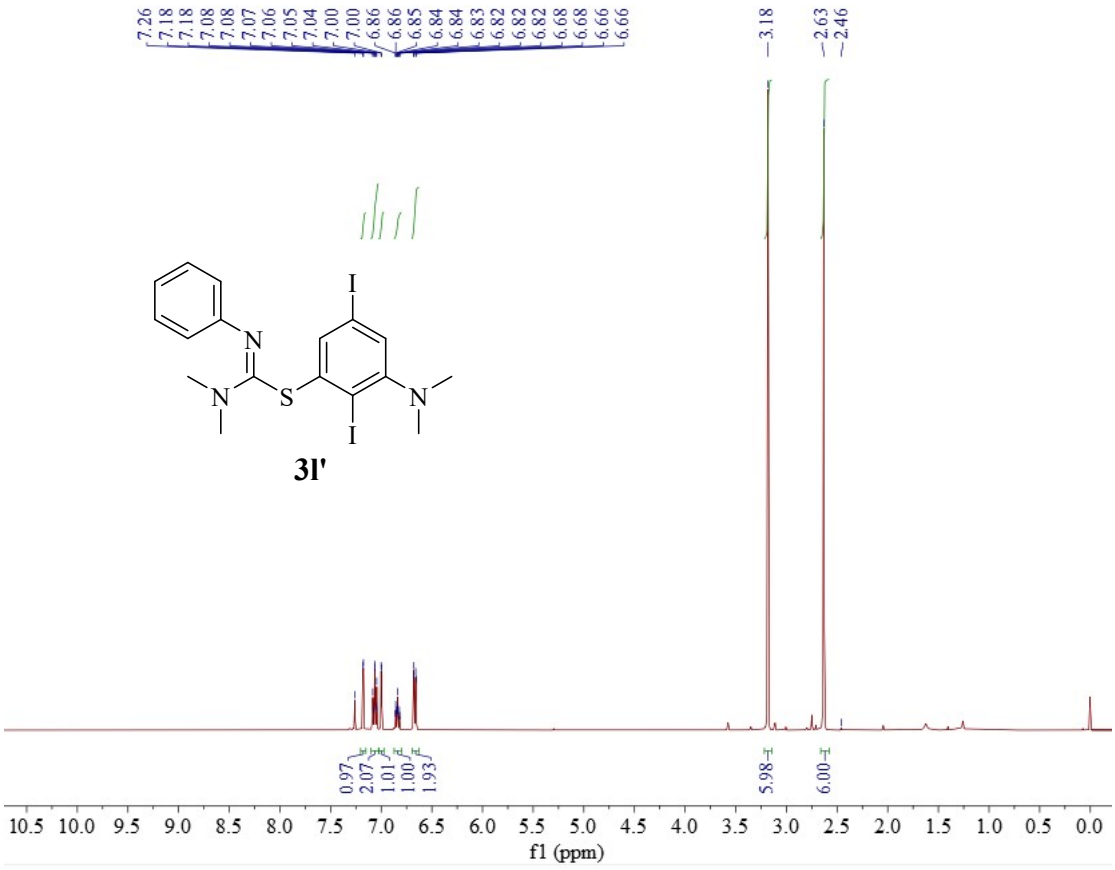
^{1}H NMR (400 MHz, $CDCl_3$)



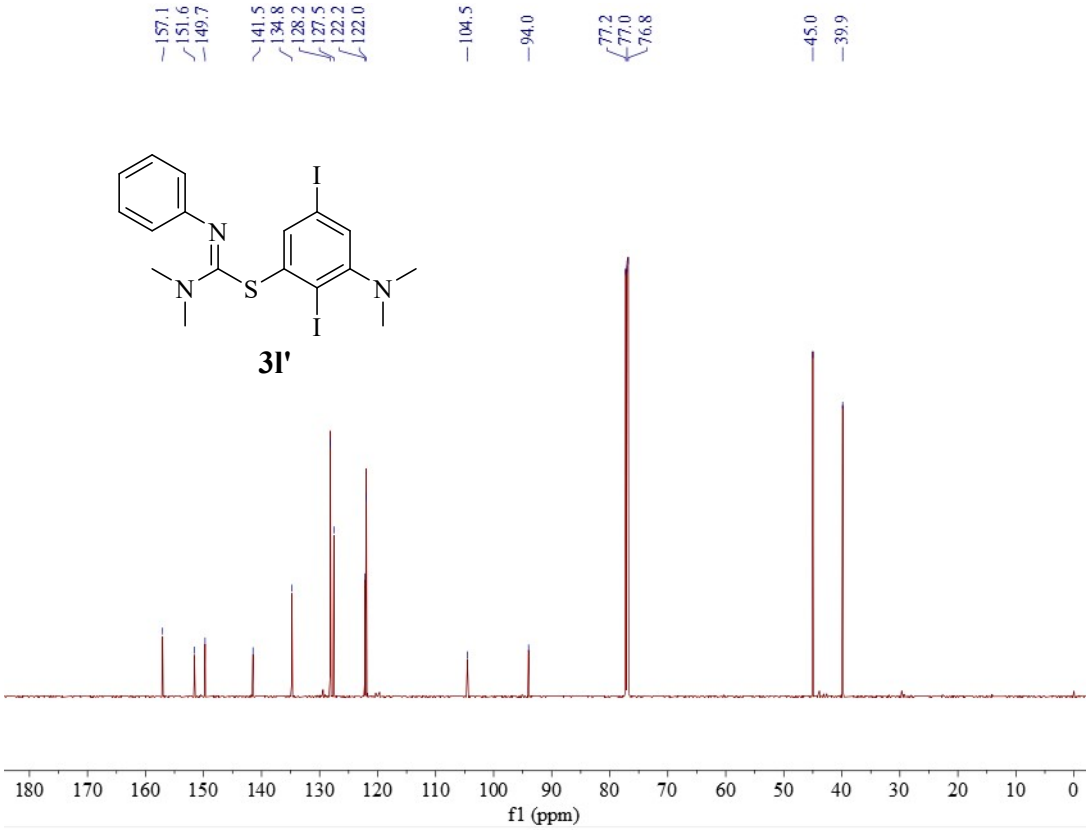
^{13}C NMR (151 MHz, $CDCl_3$)



^{1}H NMR (400 MHz, $CDCl_3$)

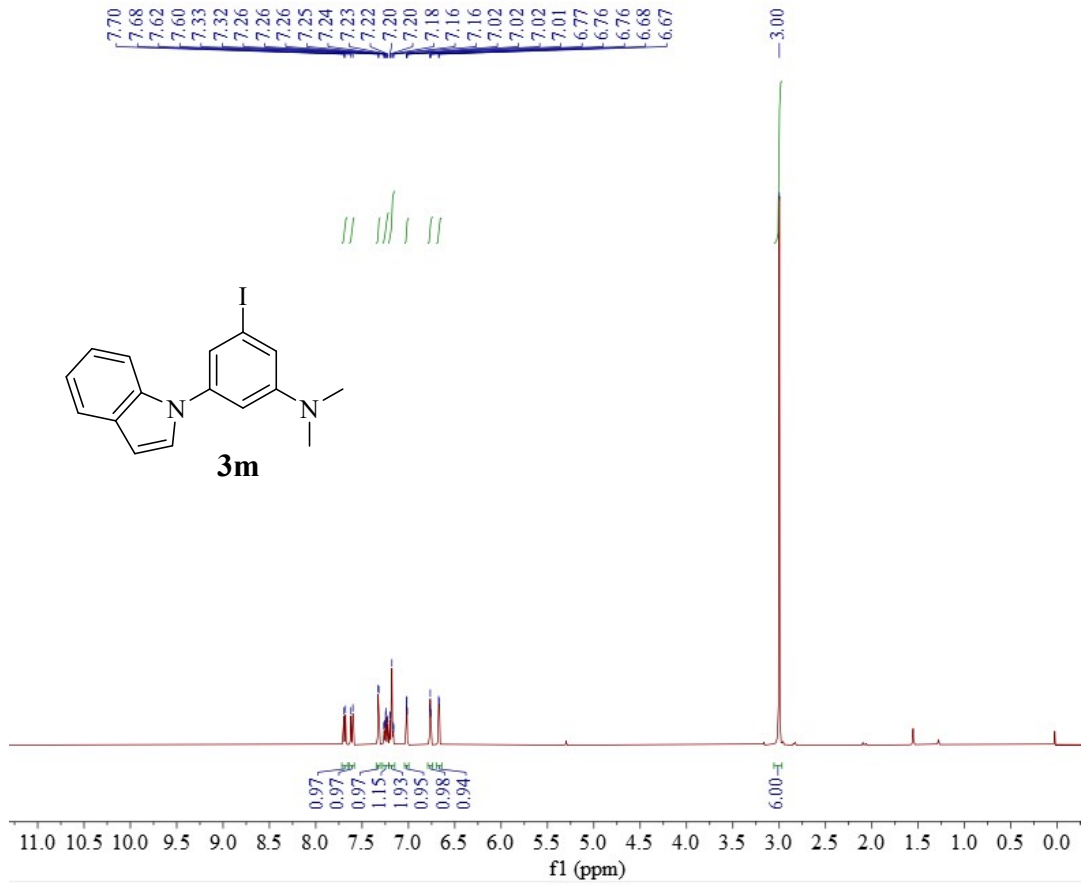


^{13}C NMR (151 MHz, $CDCl_3$)



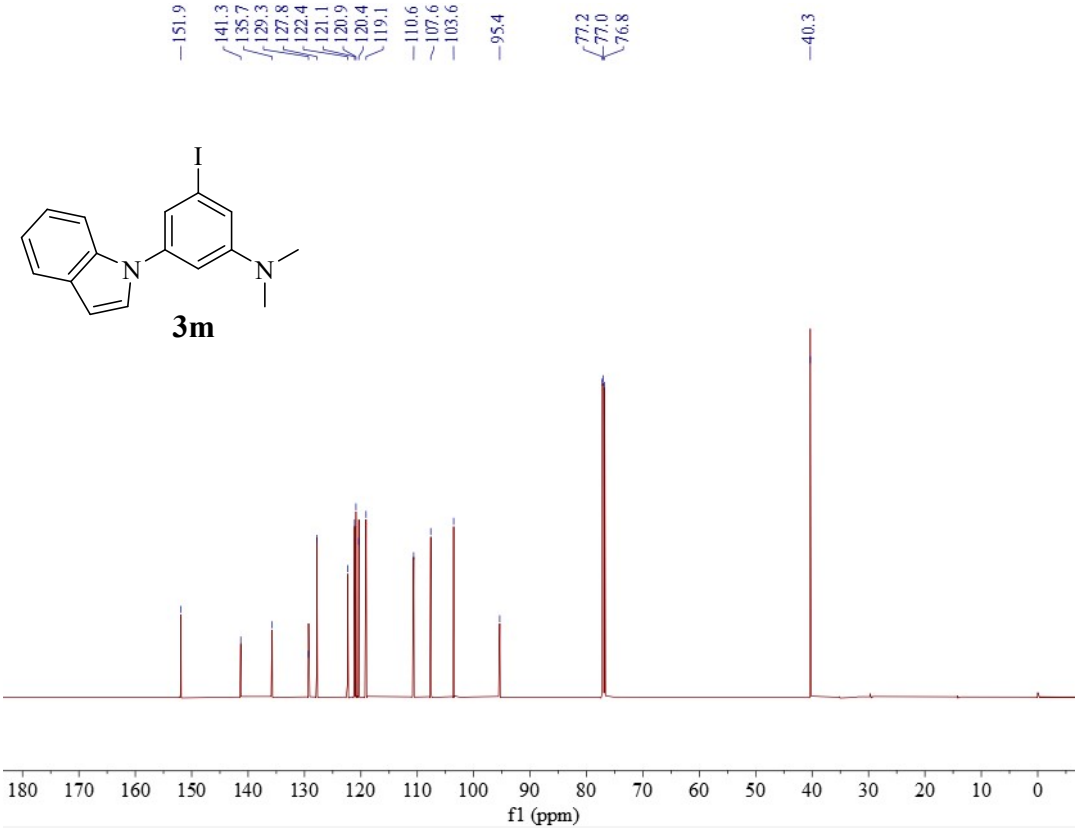
3l'

^{1}H NMR (400 MHz, $CDCl_3$)

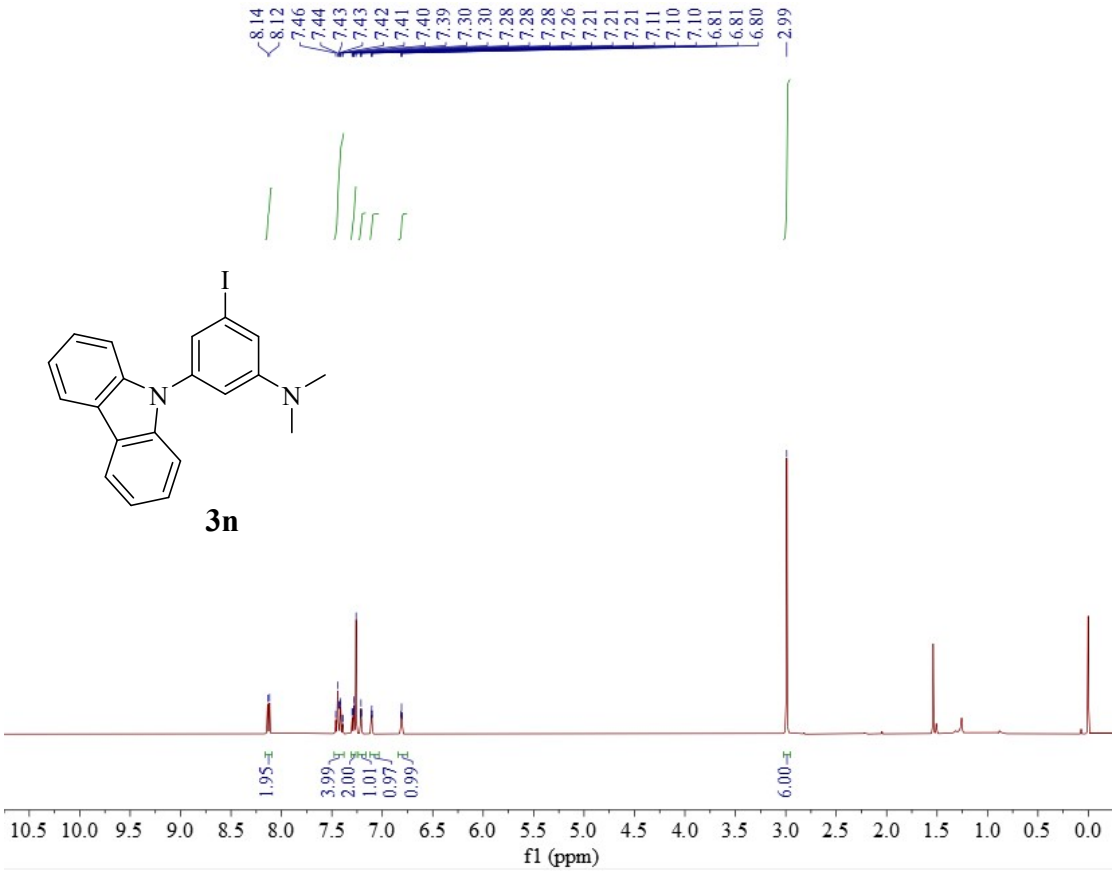


3m

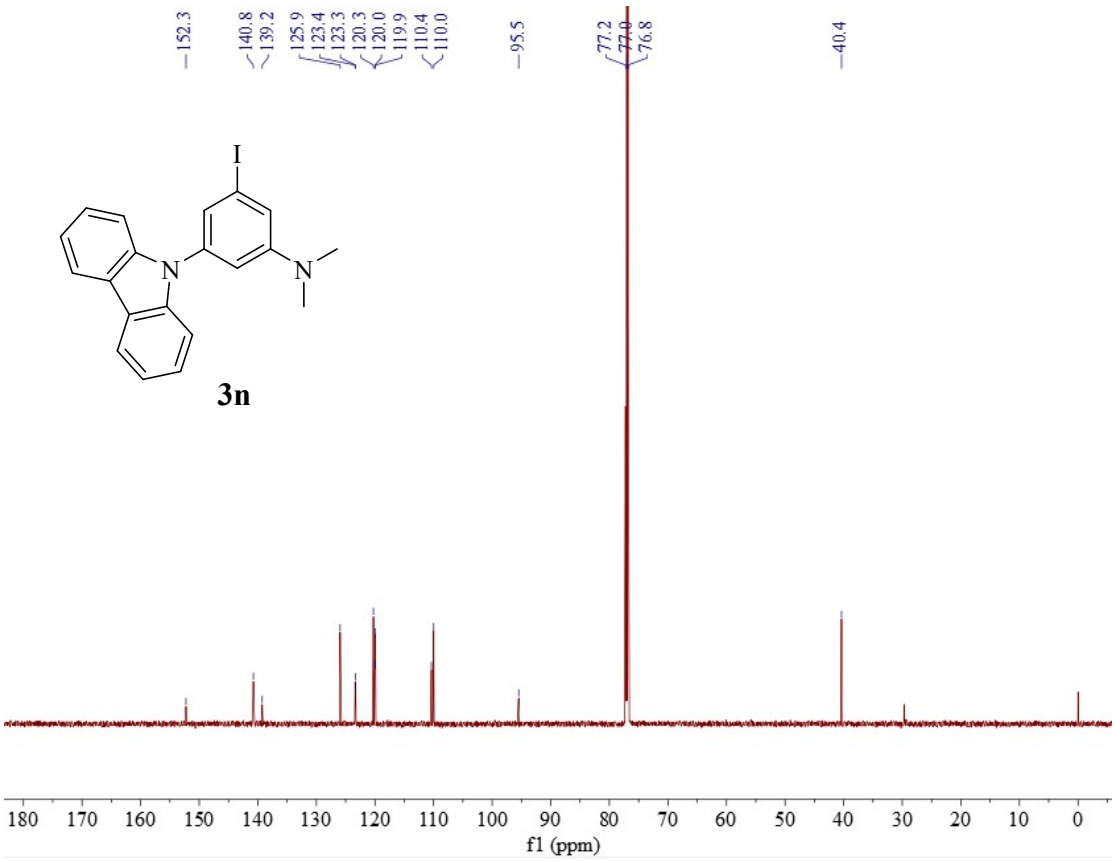
^{13}C NMR (151 MHz, $CDCl_3$)



^{1}H NMR (400 MHz, $CDCl_3$)

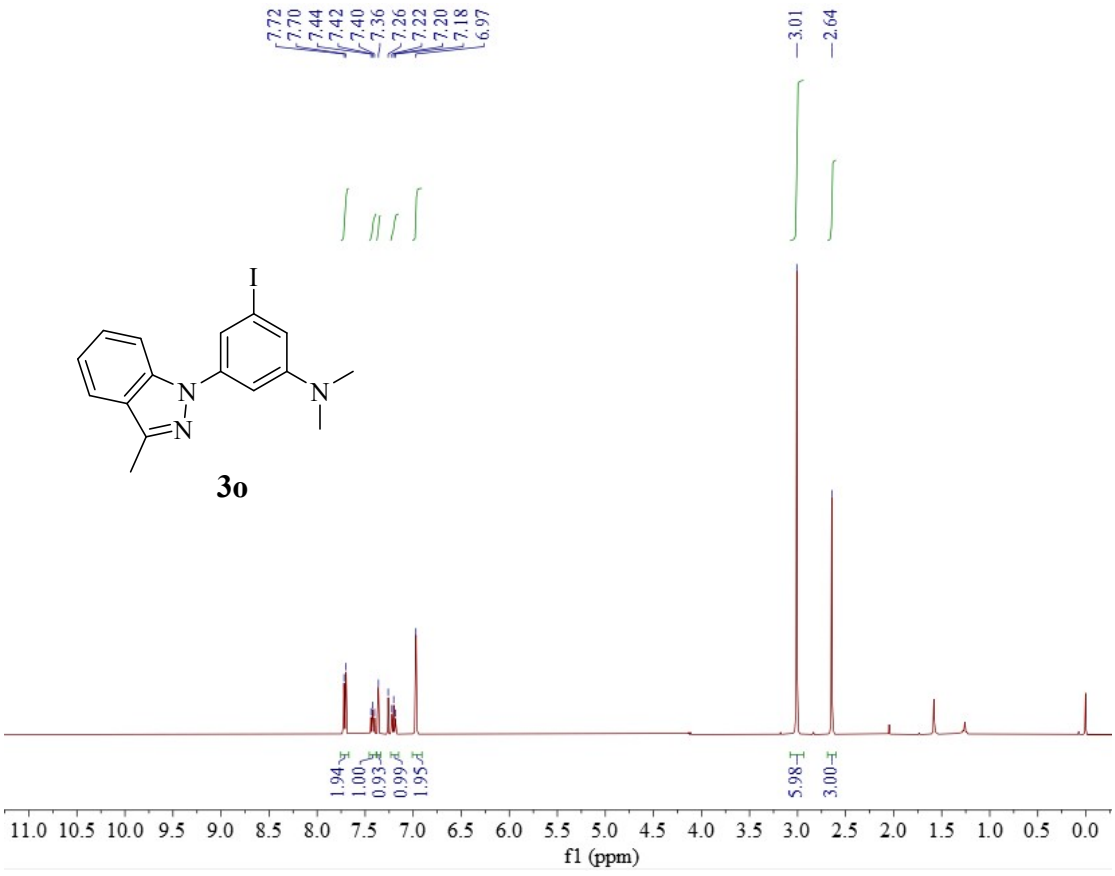


^{13}C NMR (151 MHz, $CDCl_3$)



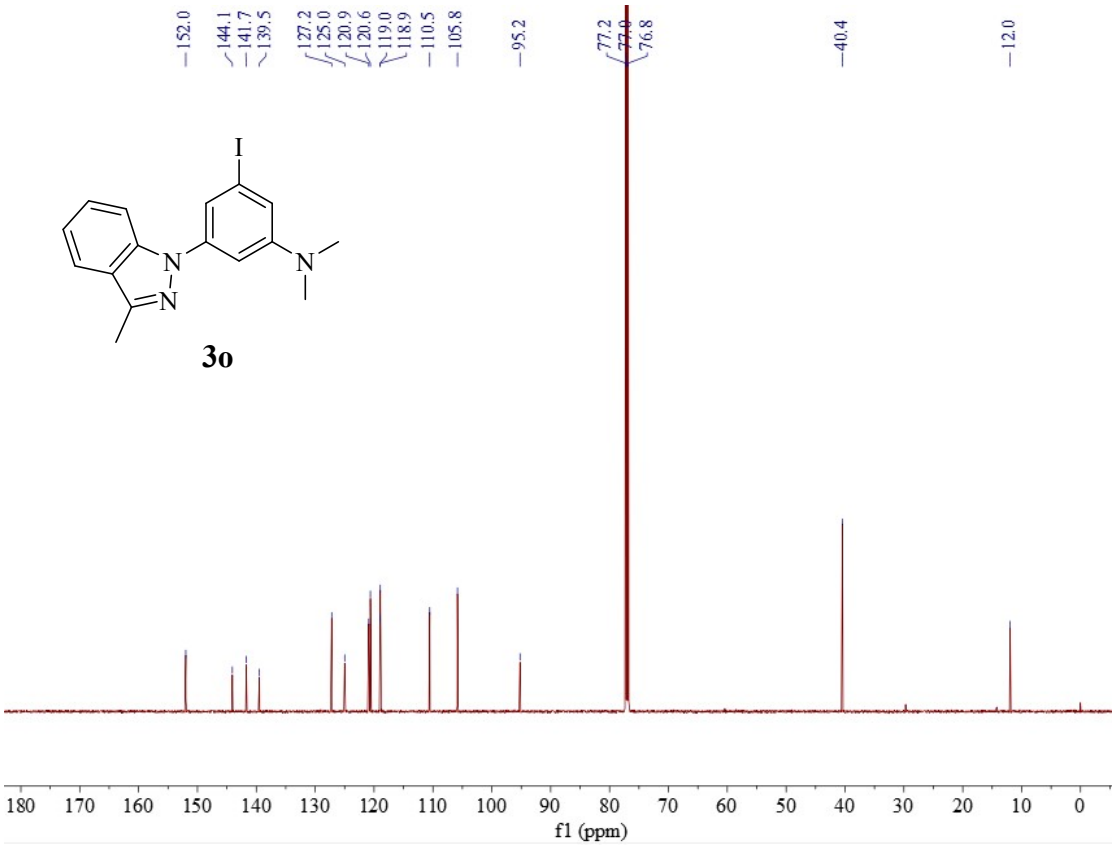
3n

^{1}H NMR (400 MHz, $CDCl_3$)



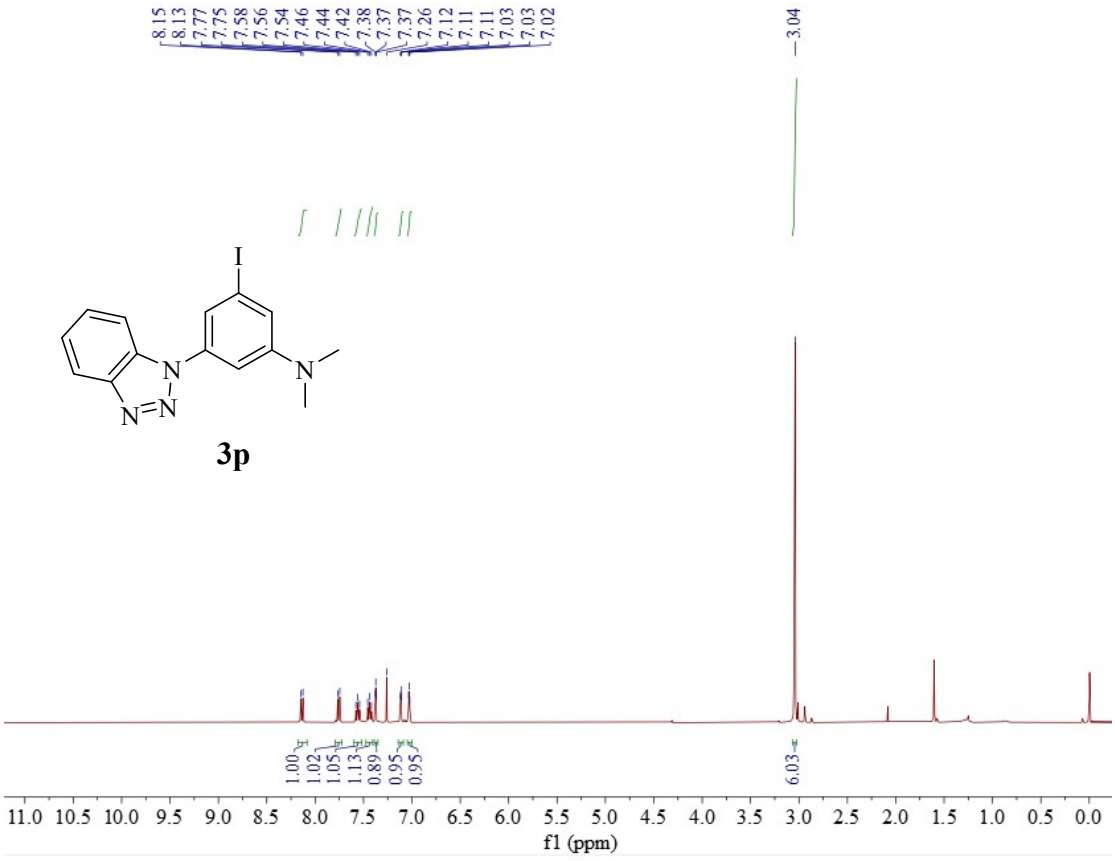
3o

^{13}C NMR (151 MHz, $CDCl_3$)



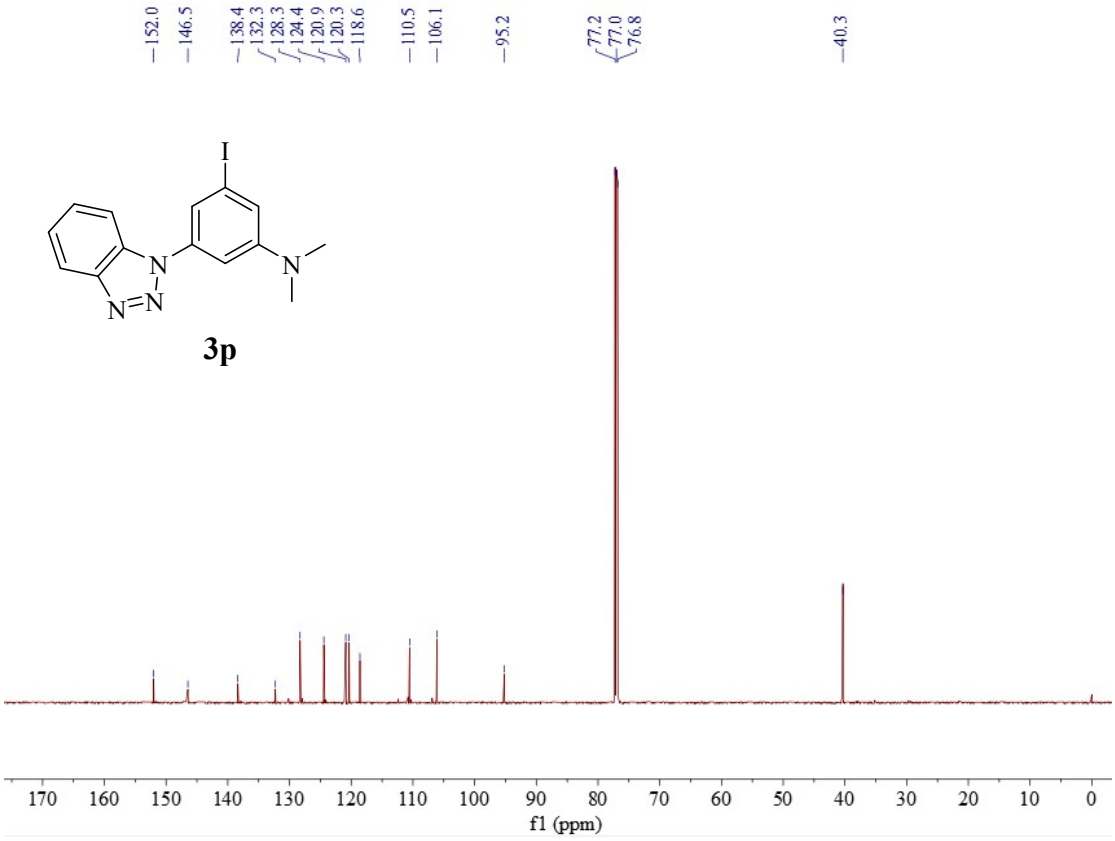
3o

^{1}H NMR (400 MHz, $CDCl_3$)

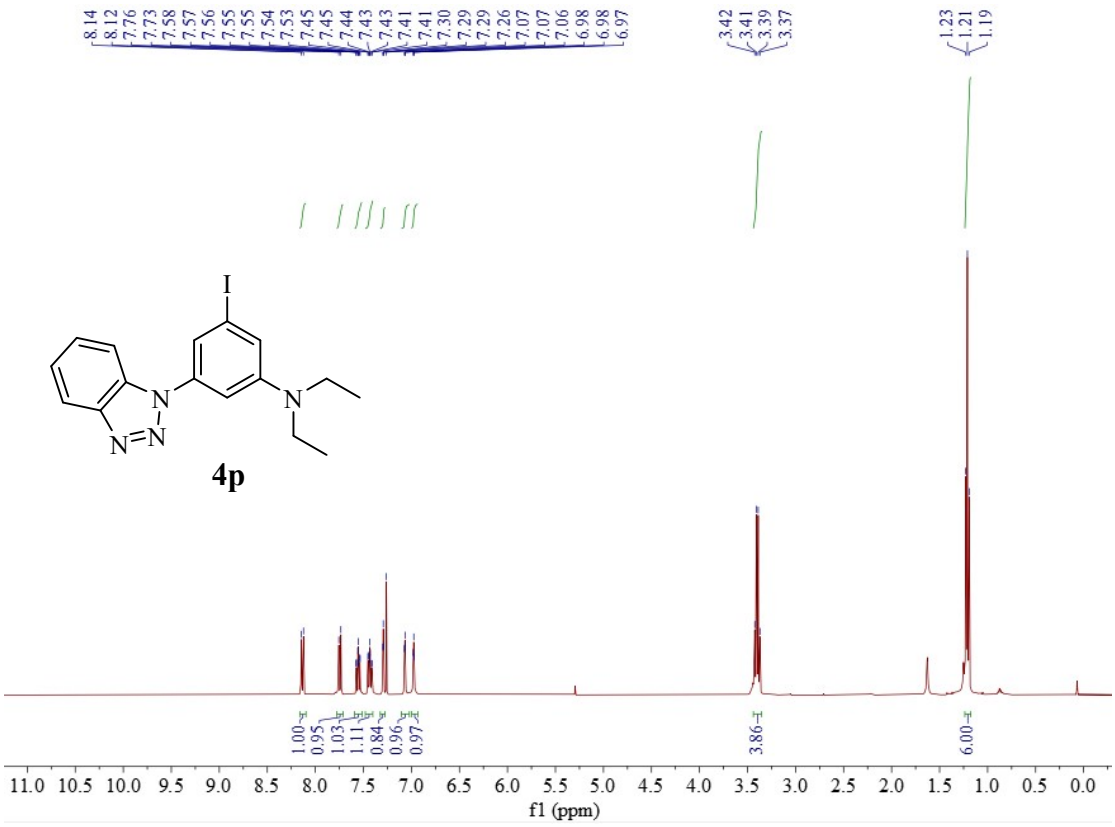


3p

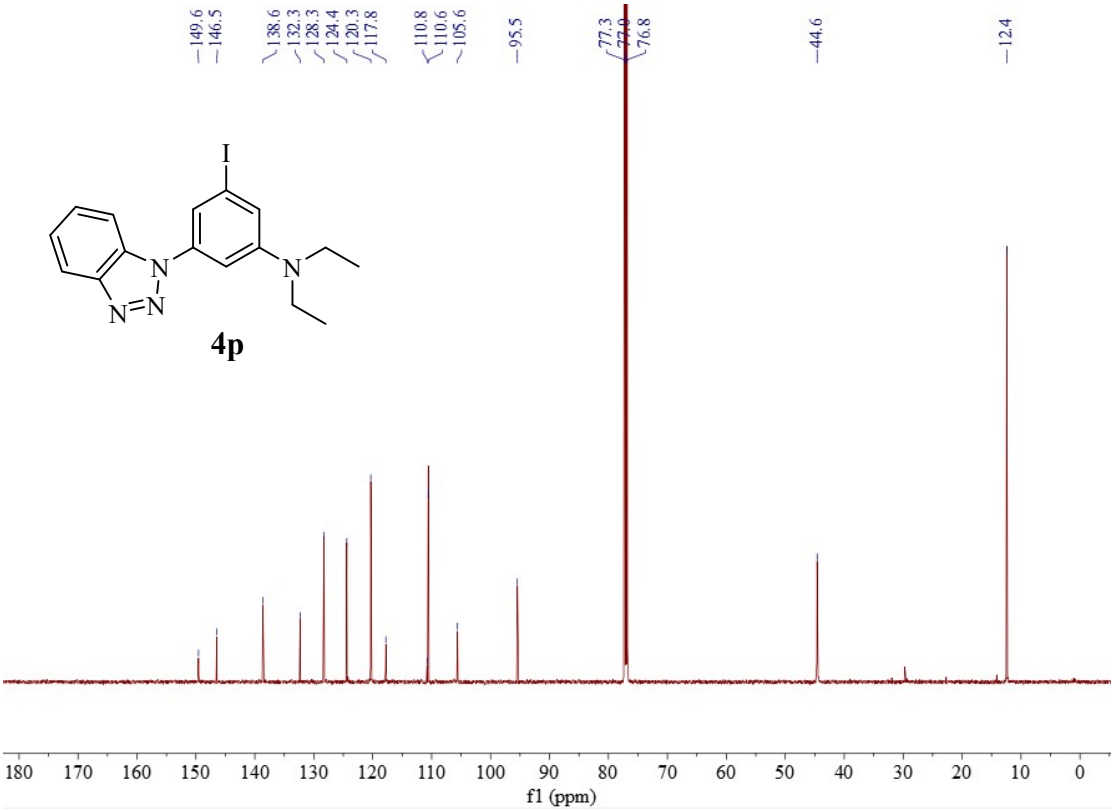
^{13}C NMR (151 MHz, $CDCl_3$)



^{1}H NMR (400 MHz, $CDCl_3$)

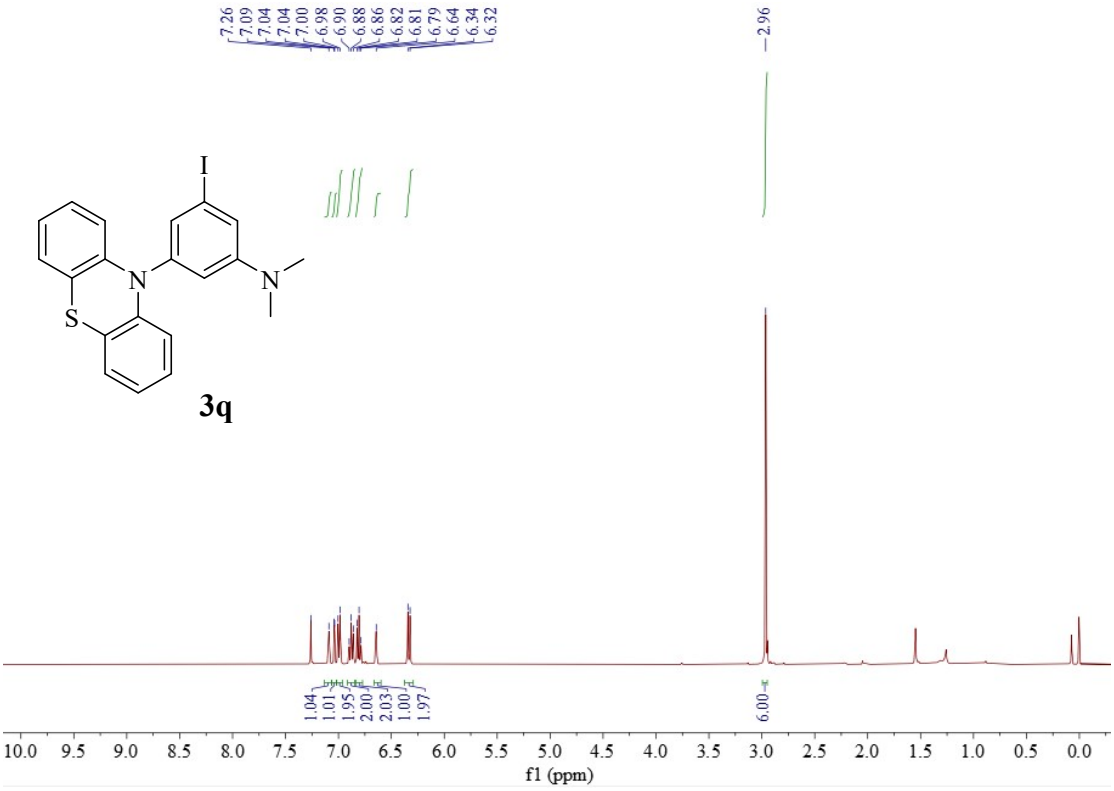


^{13}C NMR (151 MHz, $CDCl_3$)



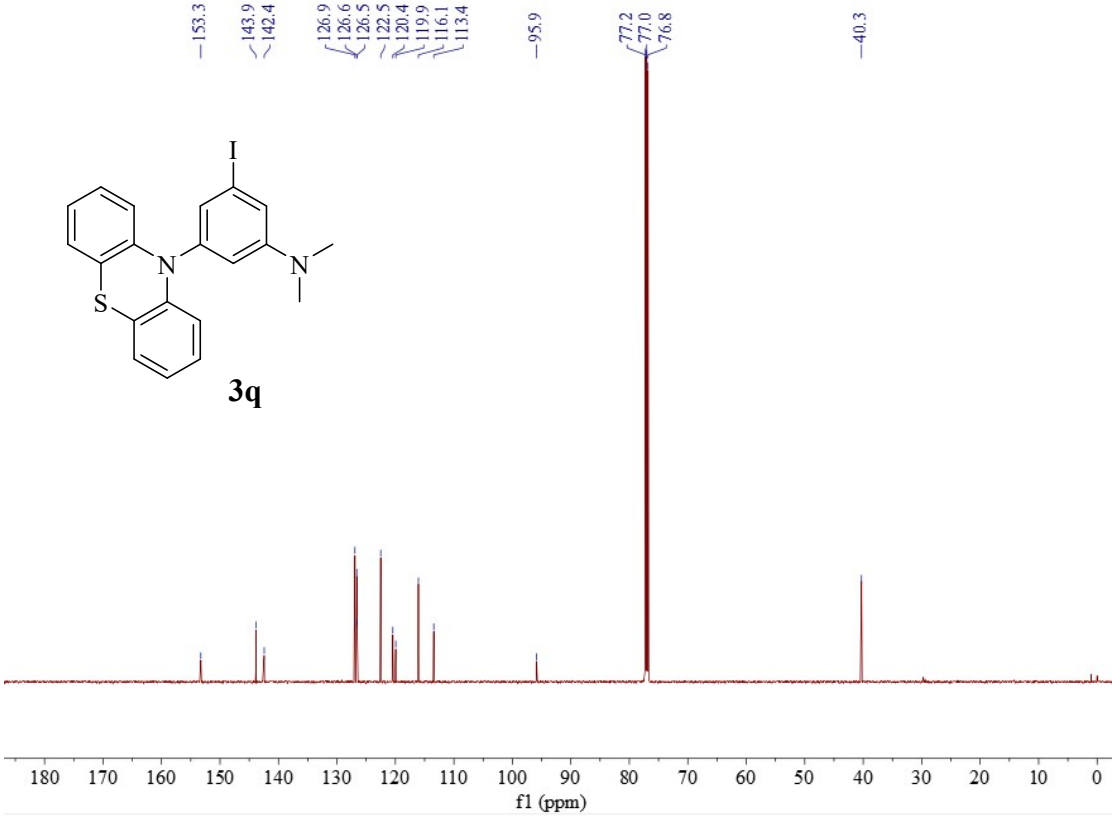
4p

^{1}H NMR (400 MHz, $CDCl_3$)

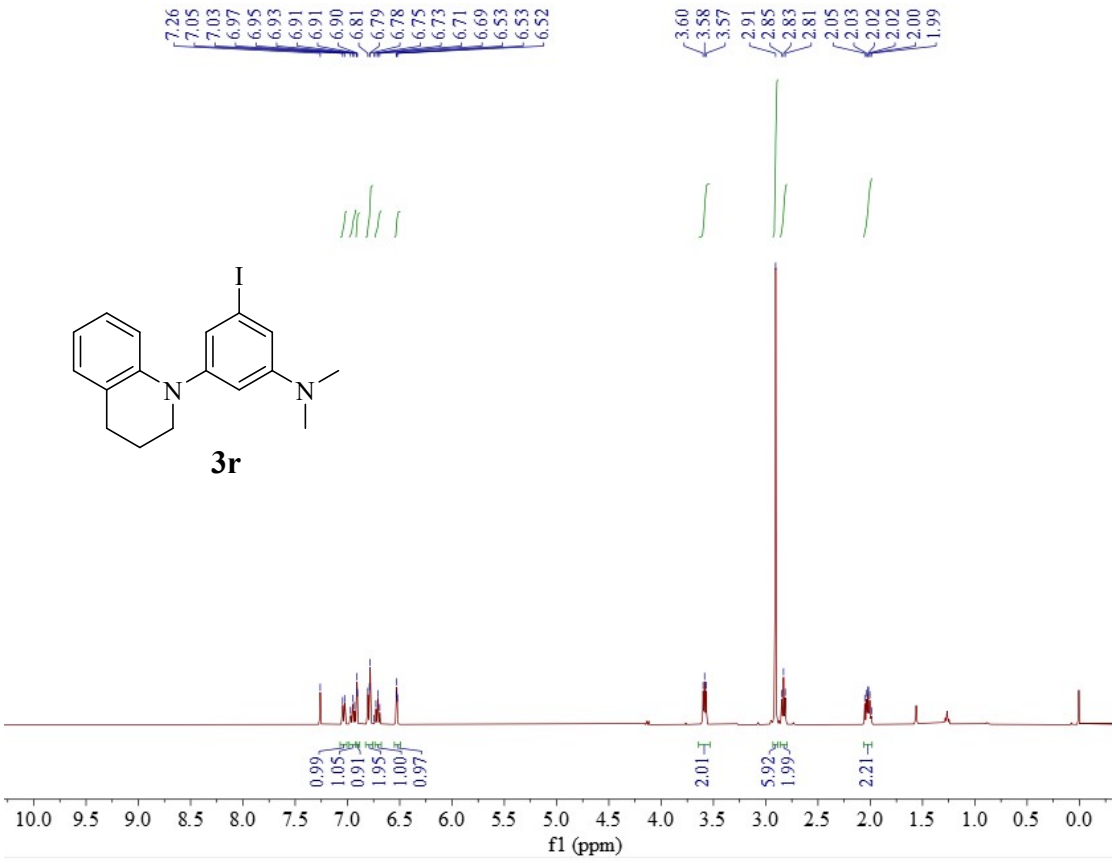


3q

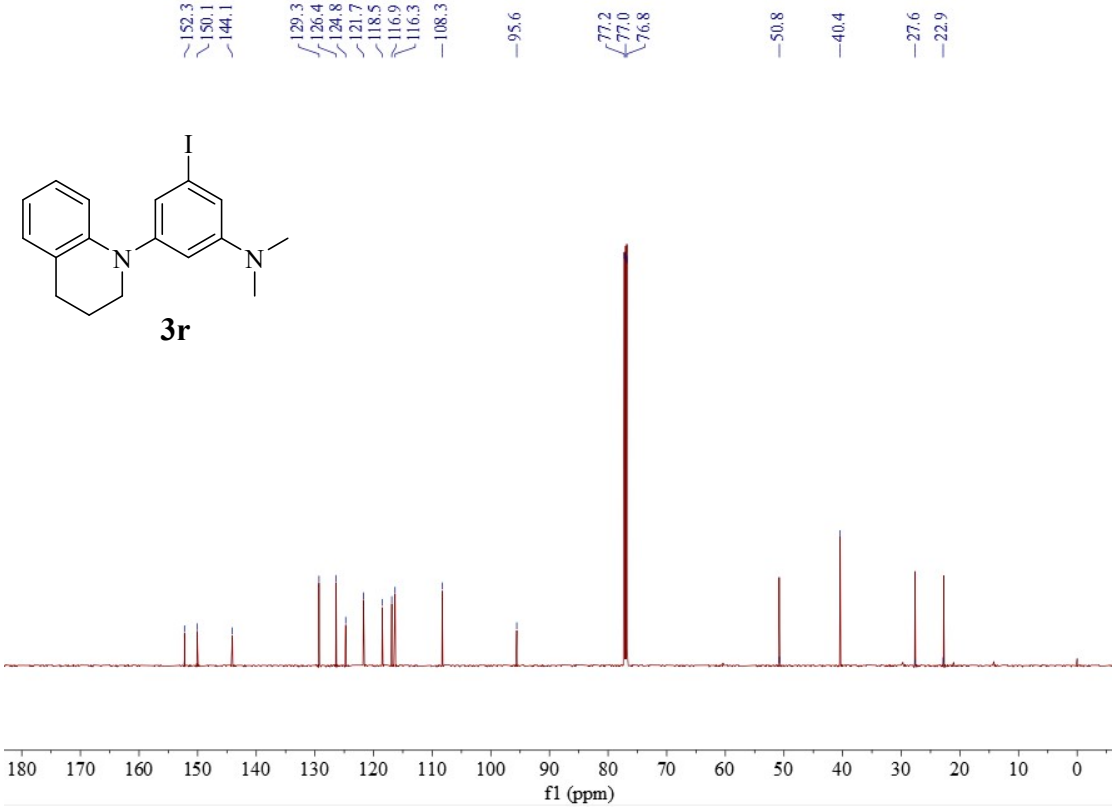
^{13}C NMR (151 MHz, $CDCl_3$)



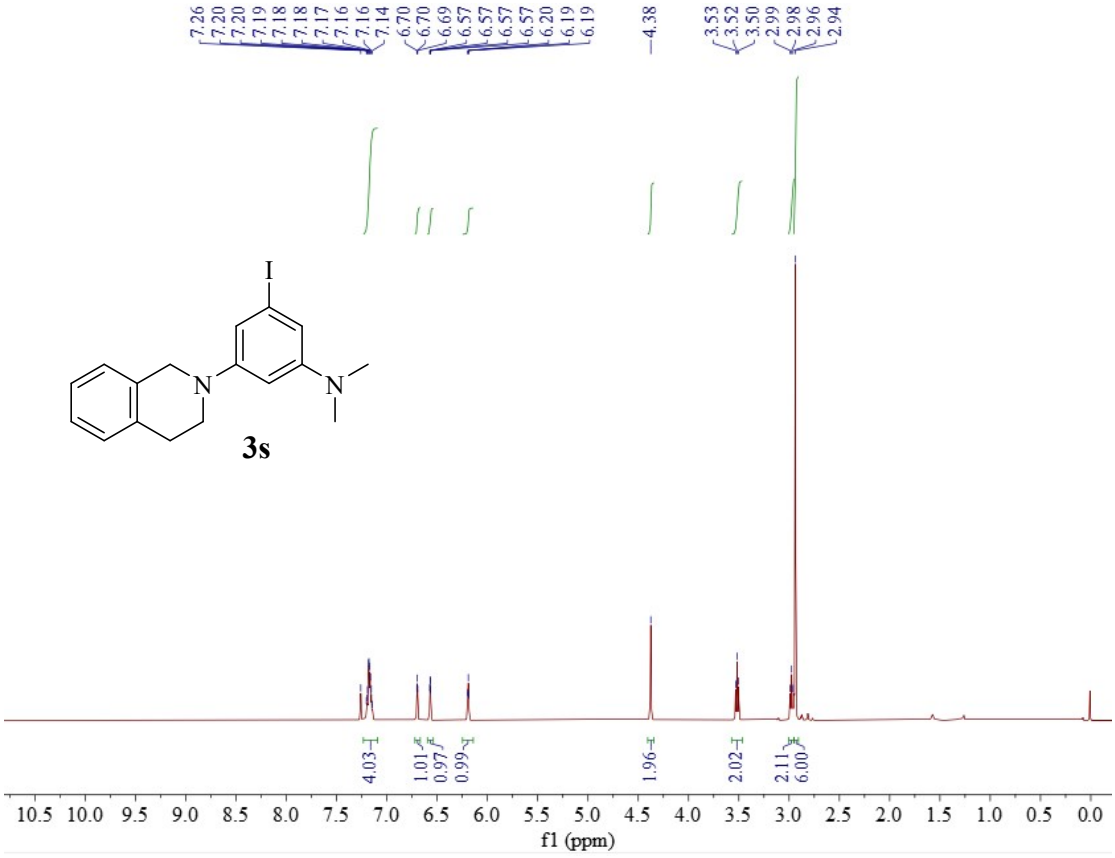
^{1}H NMR (400 MHz, $CDCl_3$)



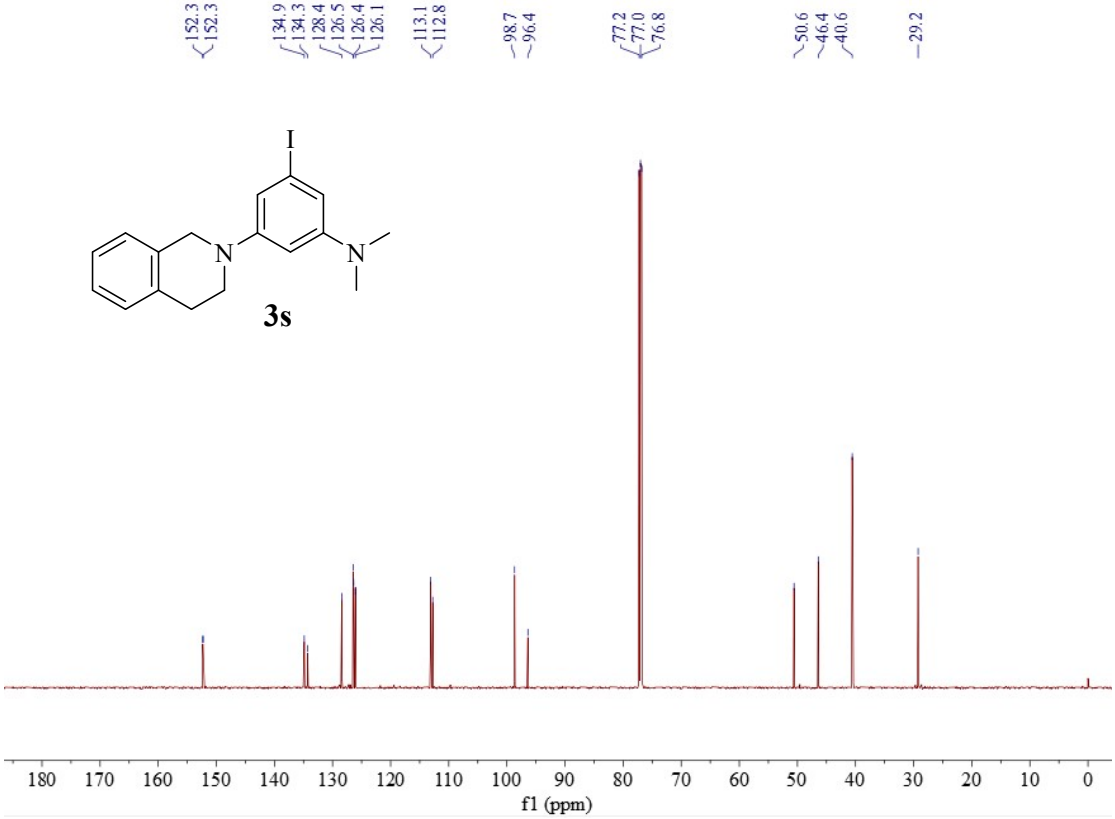
^{13}C NMR (151 MHz, $CDCl_3$)



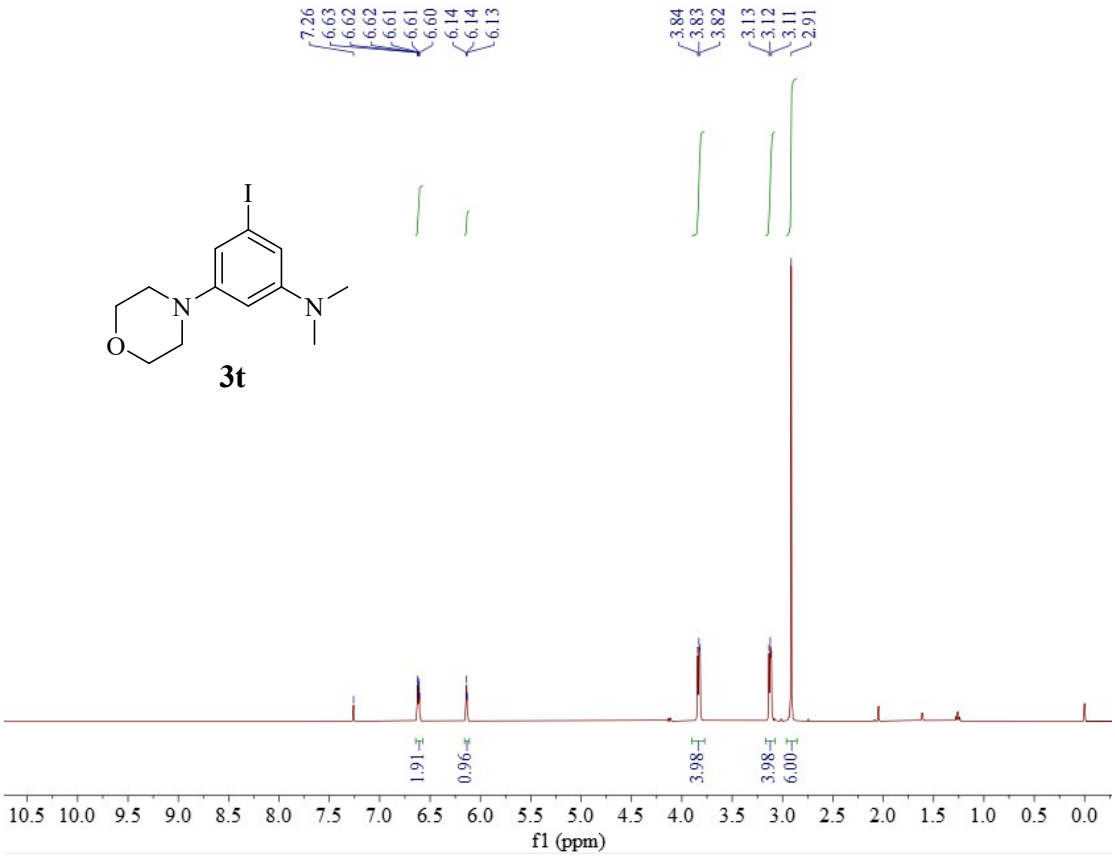
^{1}H NMR (400 MHz, $CDCl_3$)



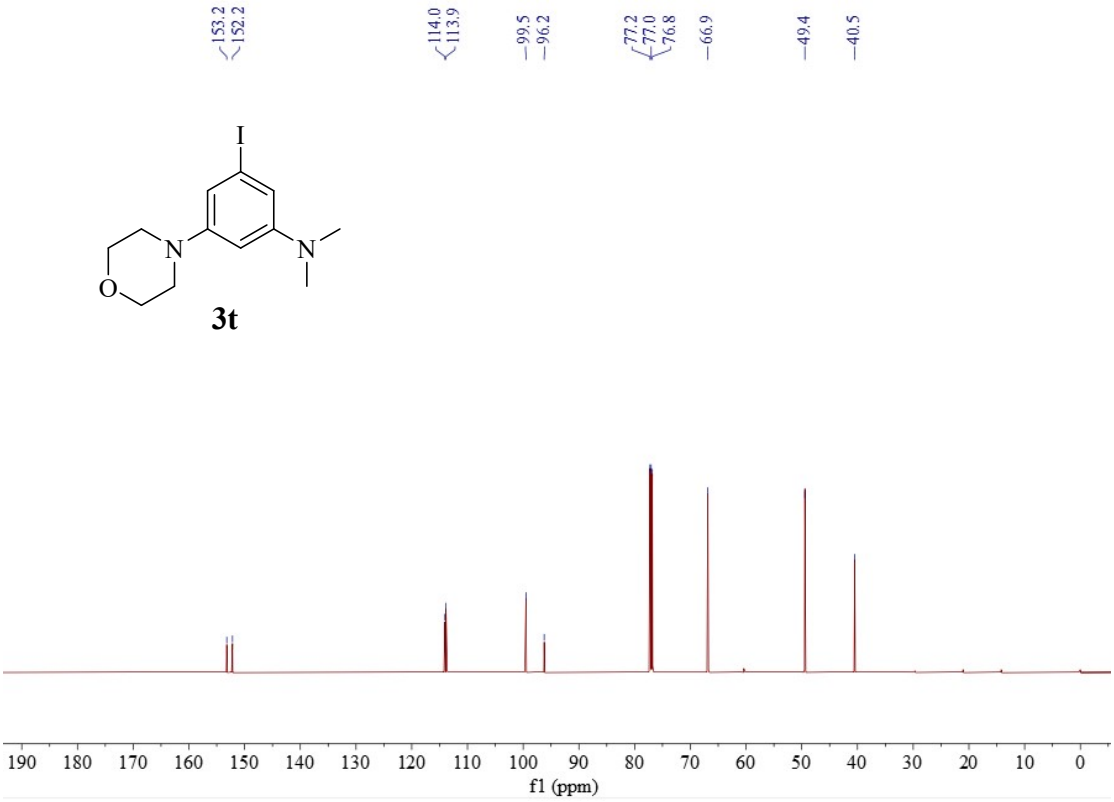
^{13}C NMR (151 MHz, $CDCl_3$)



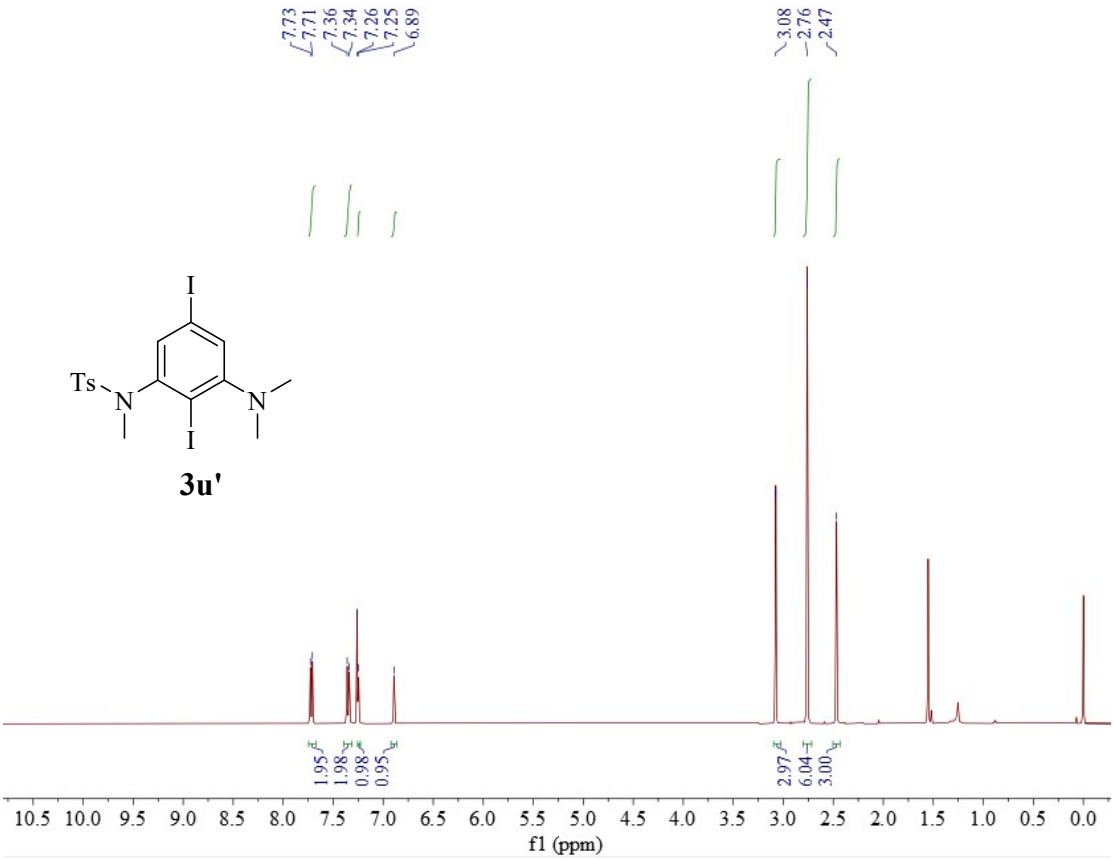
^{1}H NMR (400 MHz, $CDCl_3$)



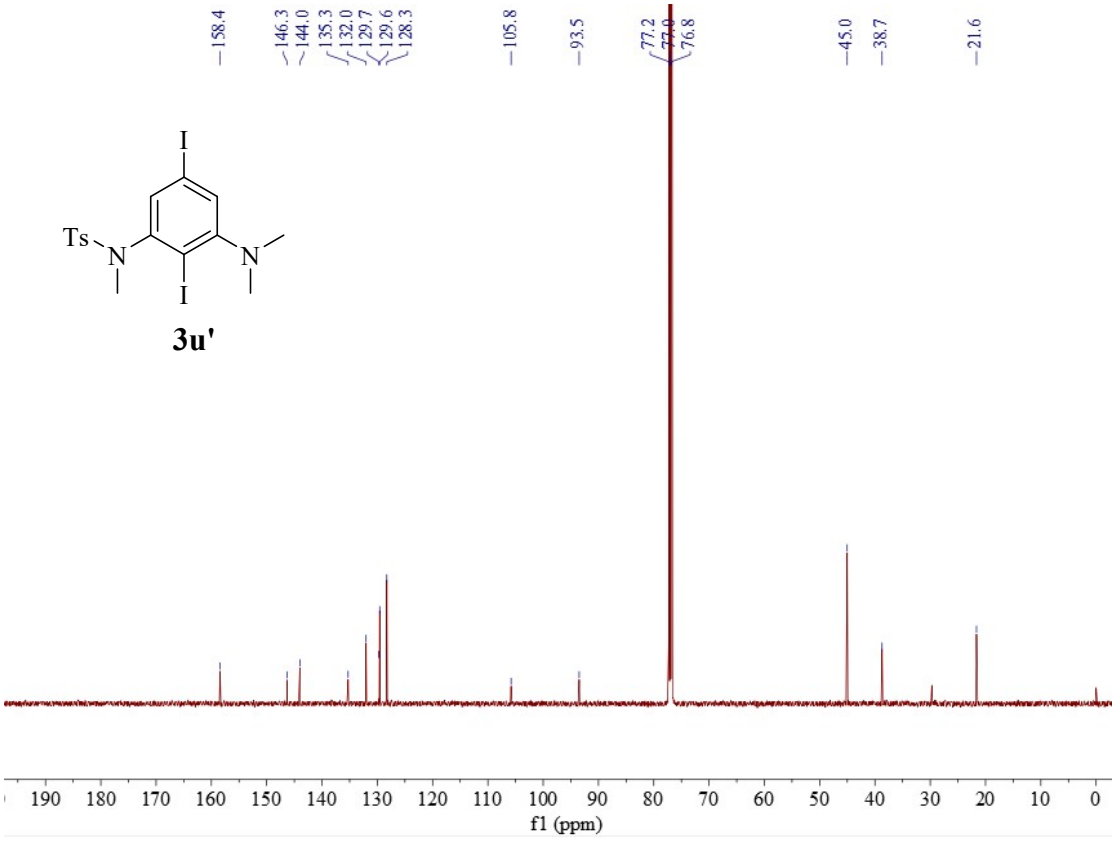
^{13}C NMR (151 MHz, $CDCl_3$)



^{1}H NMR (400 MHz, $CDCl_3$)

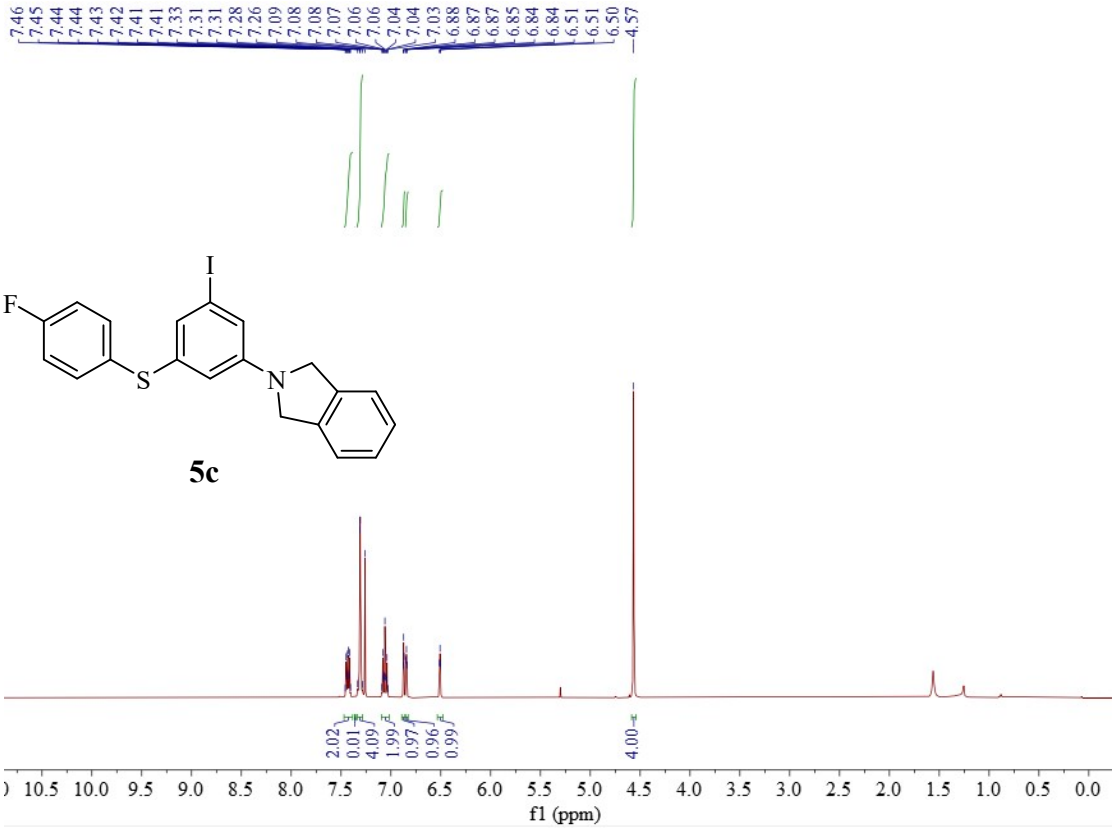


^{13}C NMR (151 MHz, $CDCl_3$)



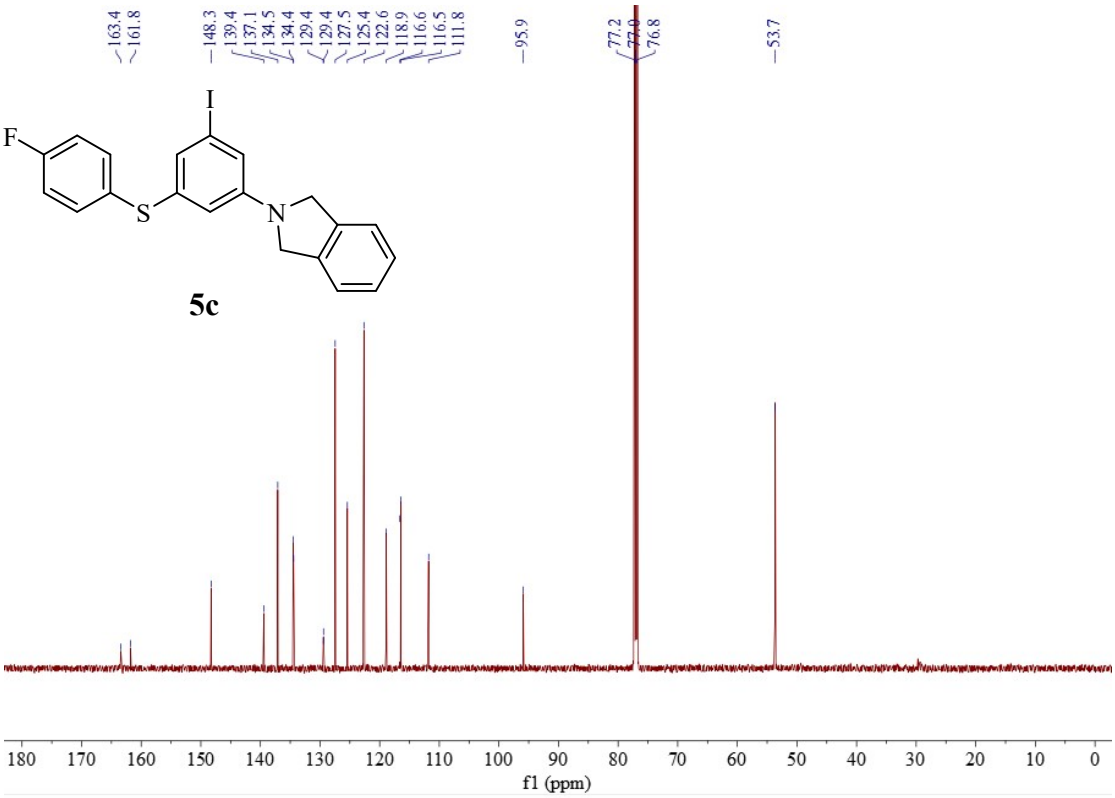
3u'

^{1}H NMR (400 MHz, $CDCl_3$)

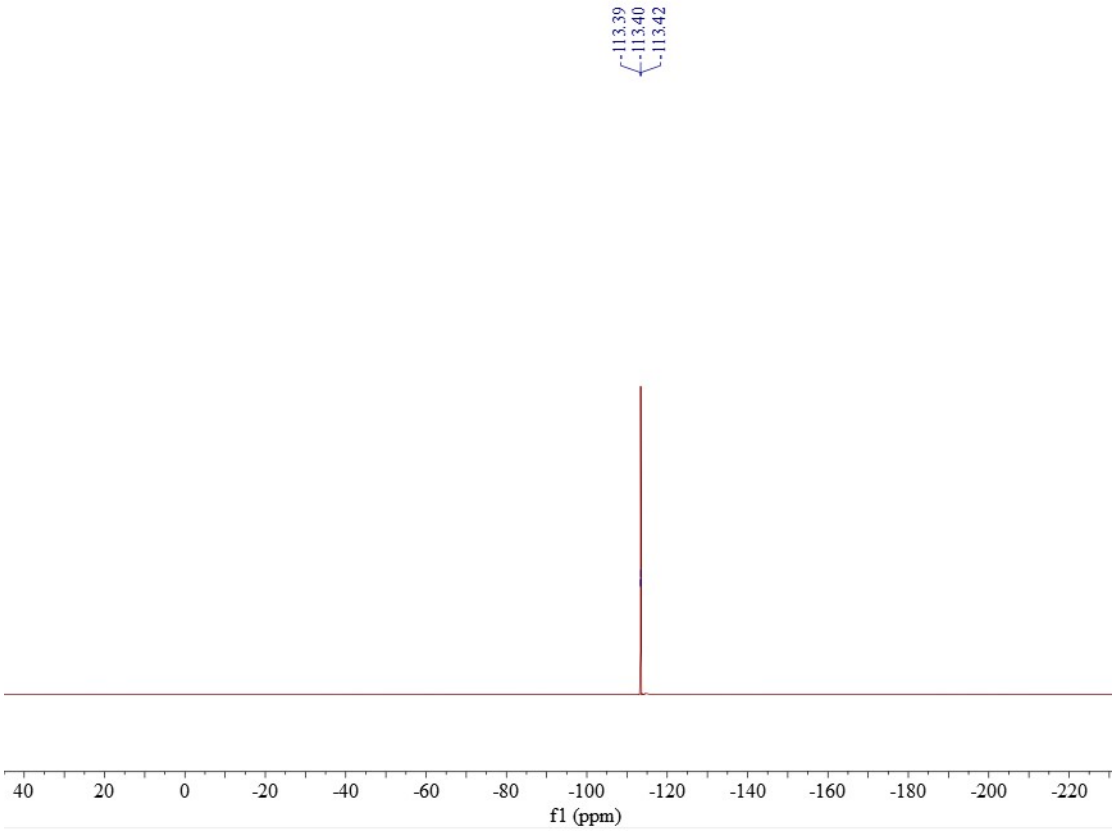


5c

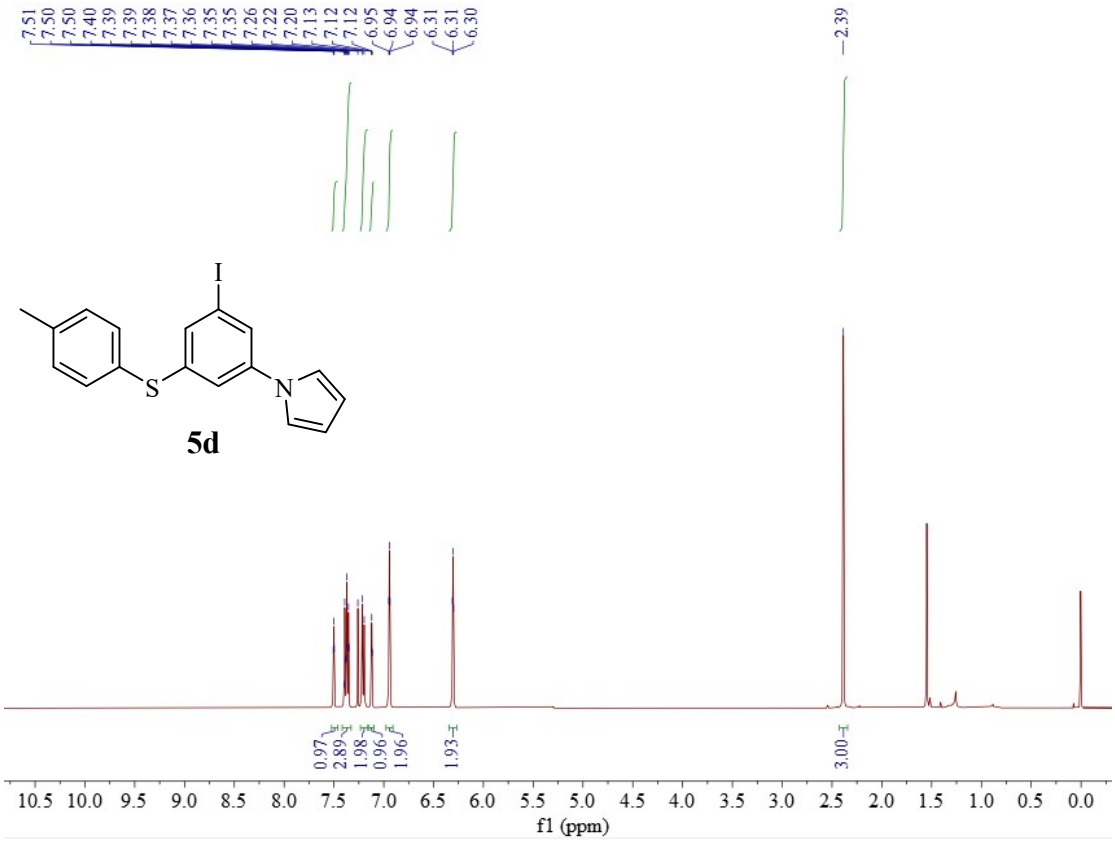
^{13}C NMR (151 MHz, $CDCl_3$)



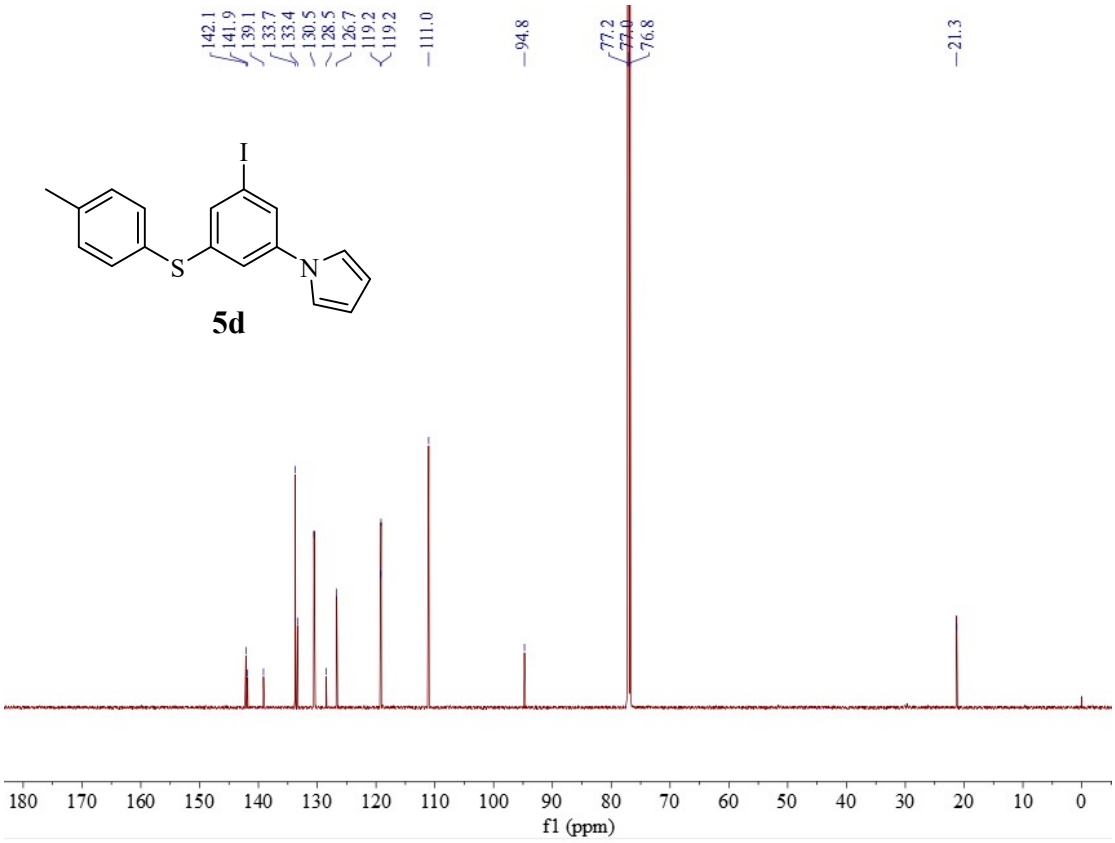
^{19}F NMR (565 MHz, $CDCl_3$)



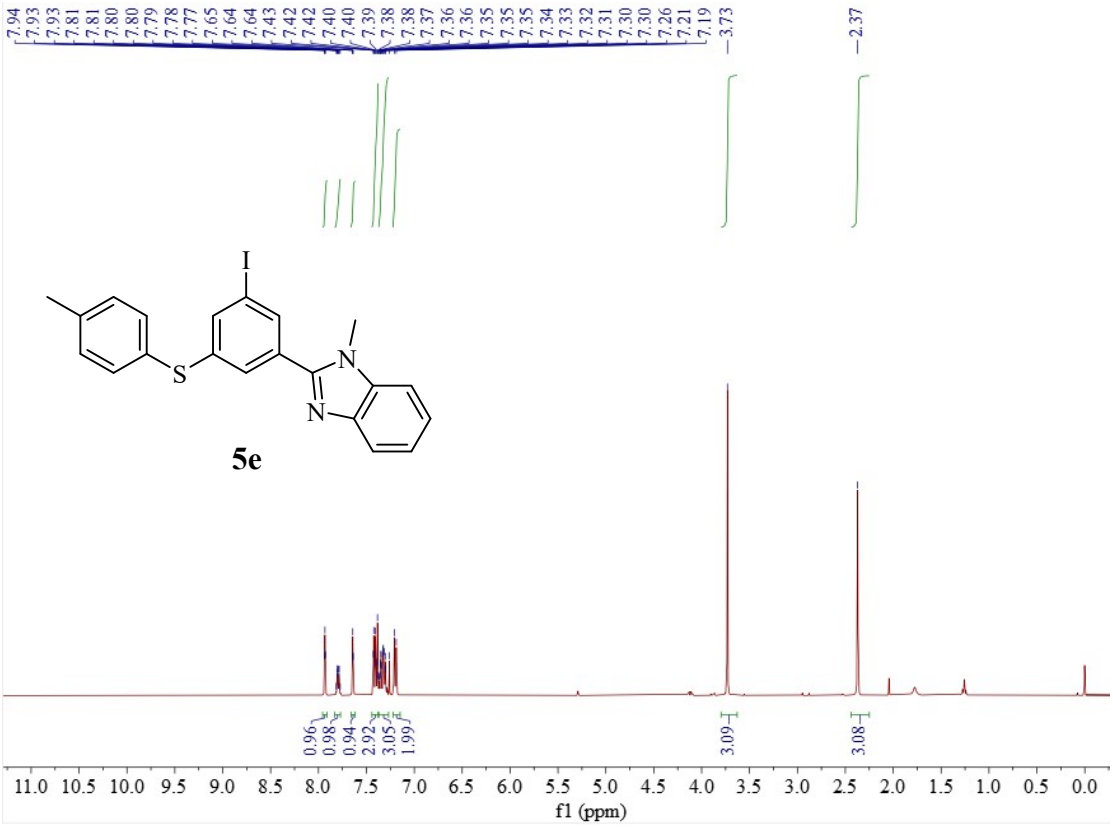
^{1}H NMR (400 MHz, $CDCl_3$)



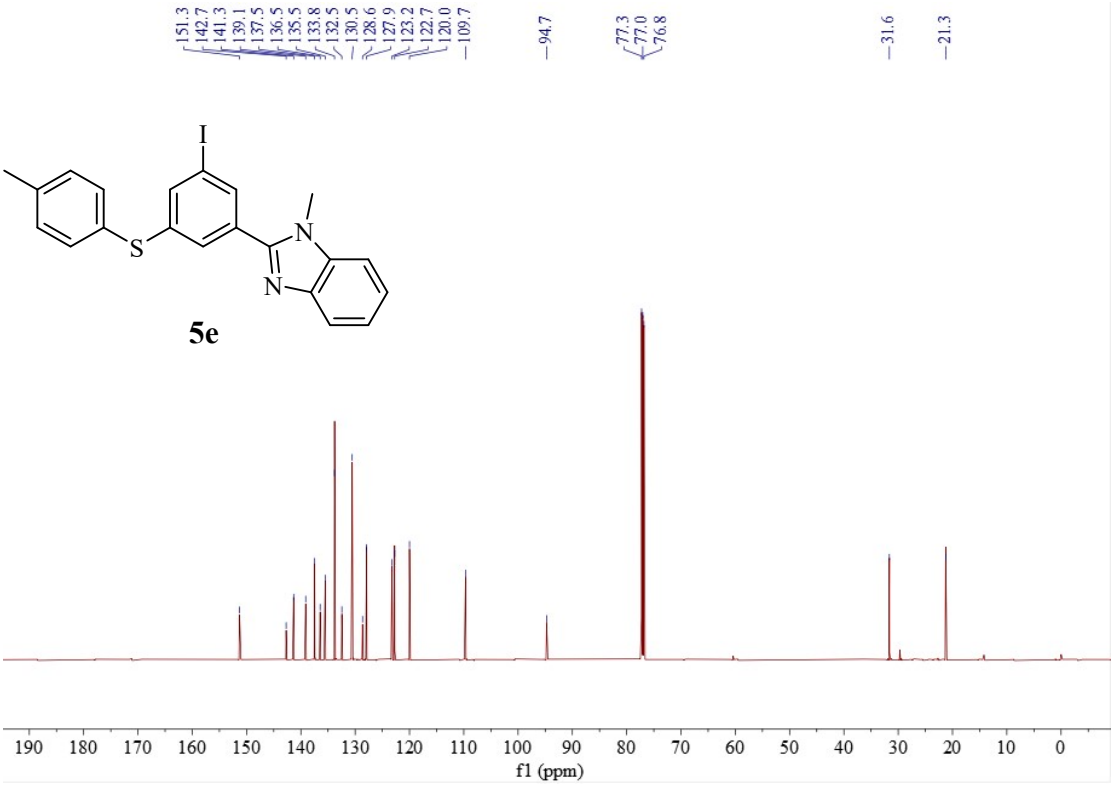
^{13}C NMR (151 MHz, $CDCl_3$)



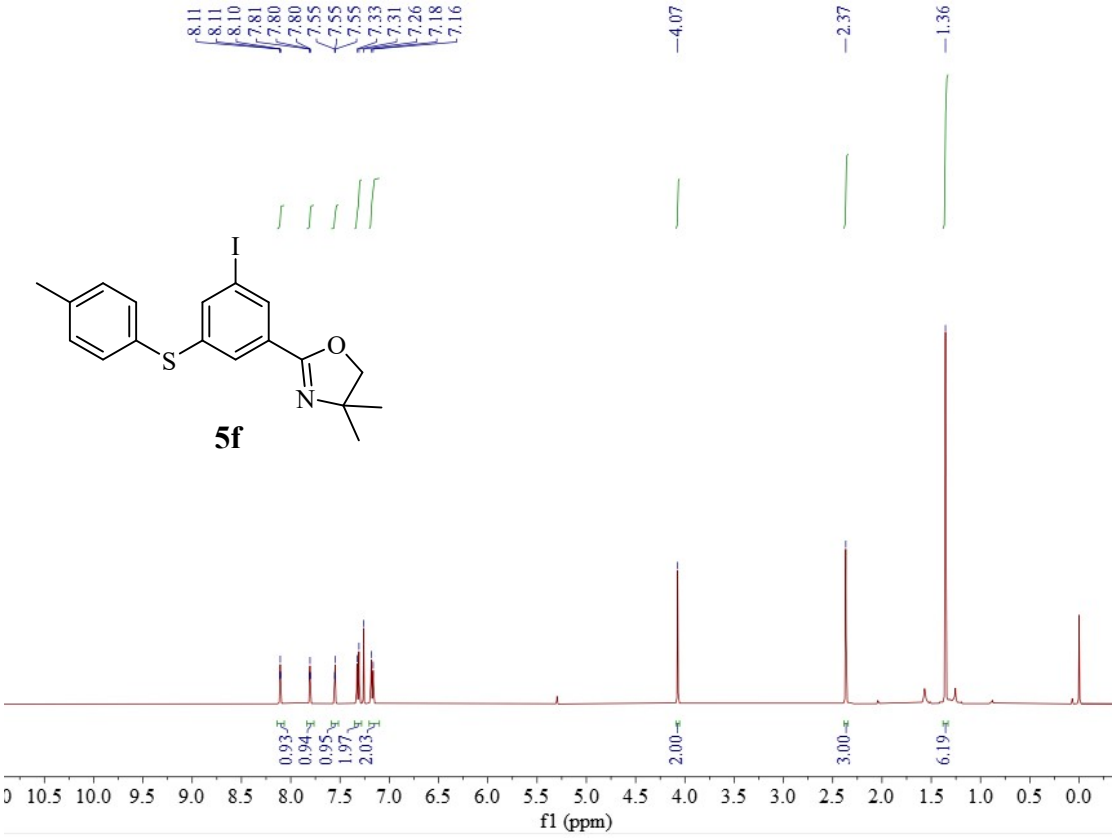
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (151 MHz, $CDCl_3$)

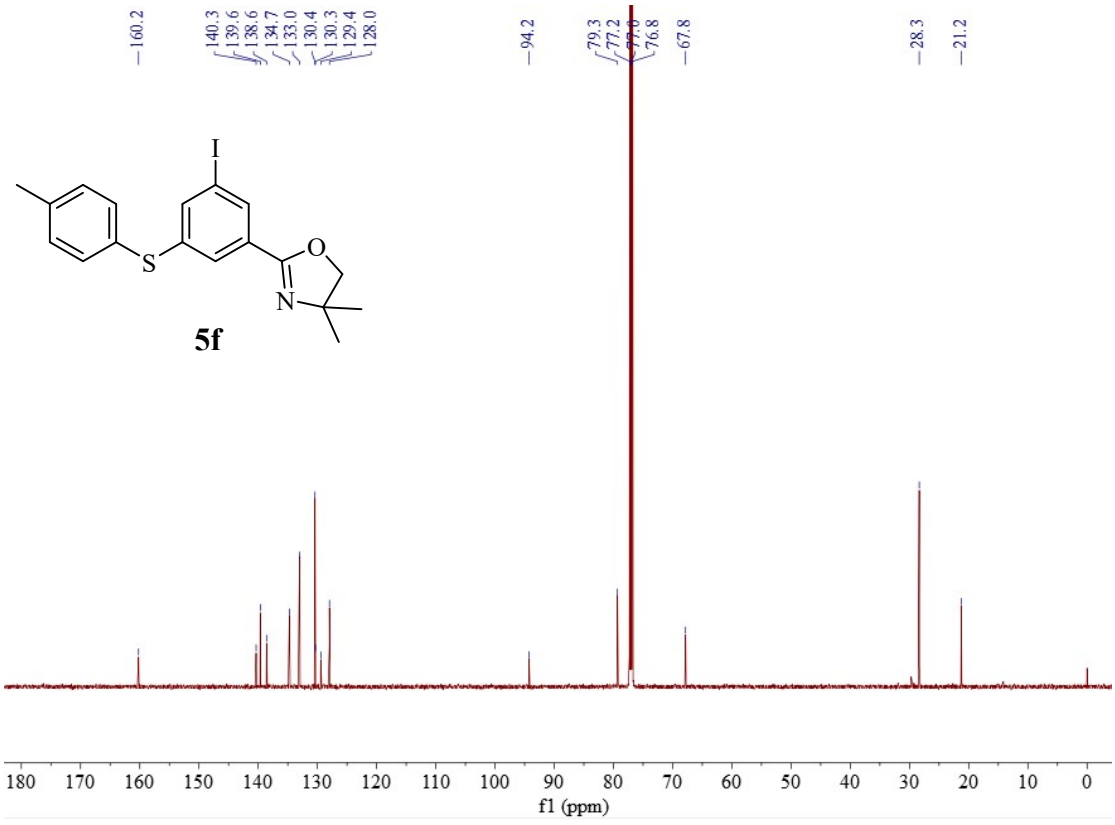


^{1}H NMR (400 MHz, $CDCl_3$)



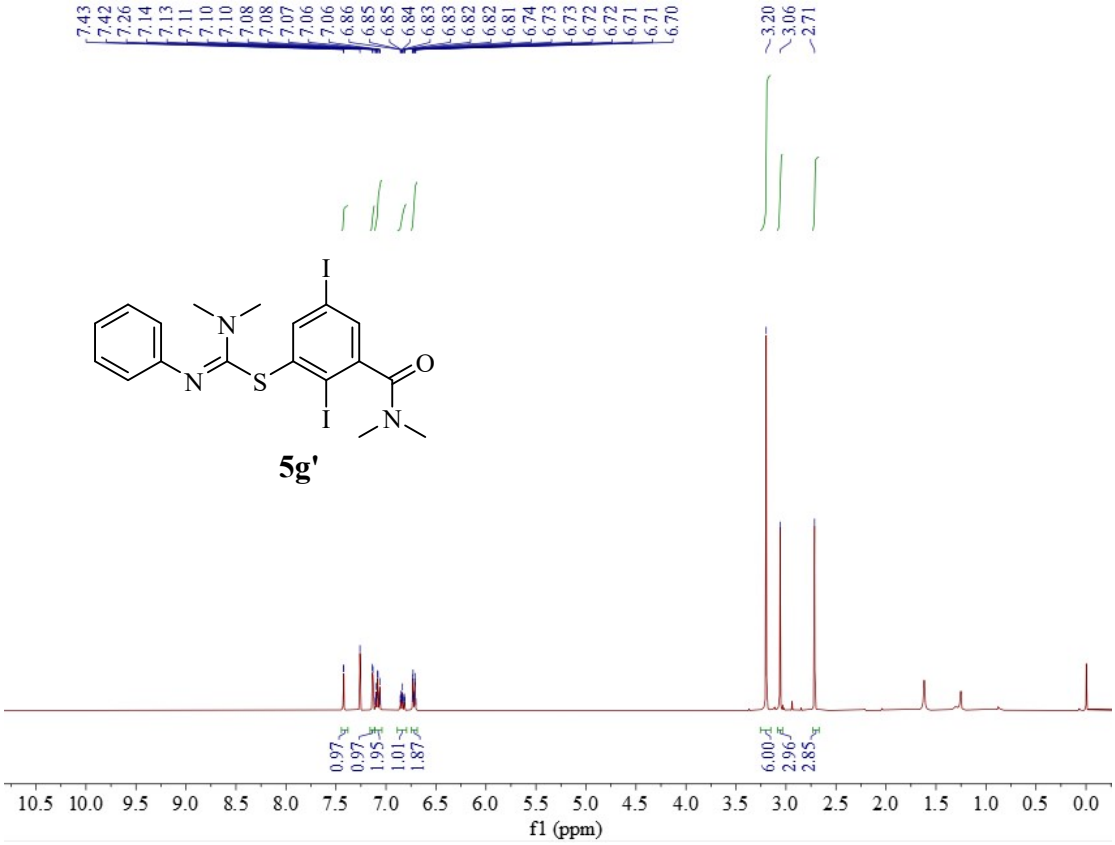
5f

^{13}C NMR (151 MHz, $CDCl_3$)



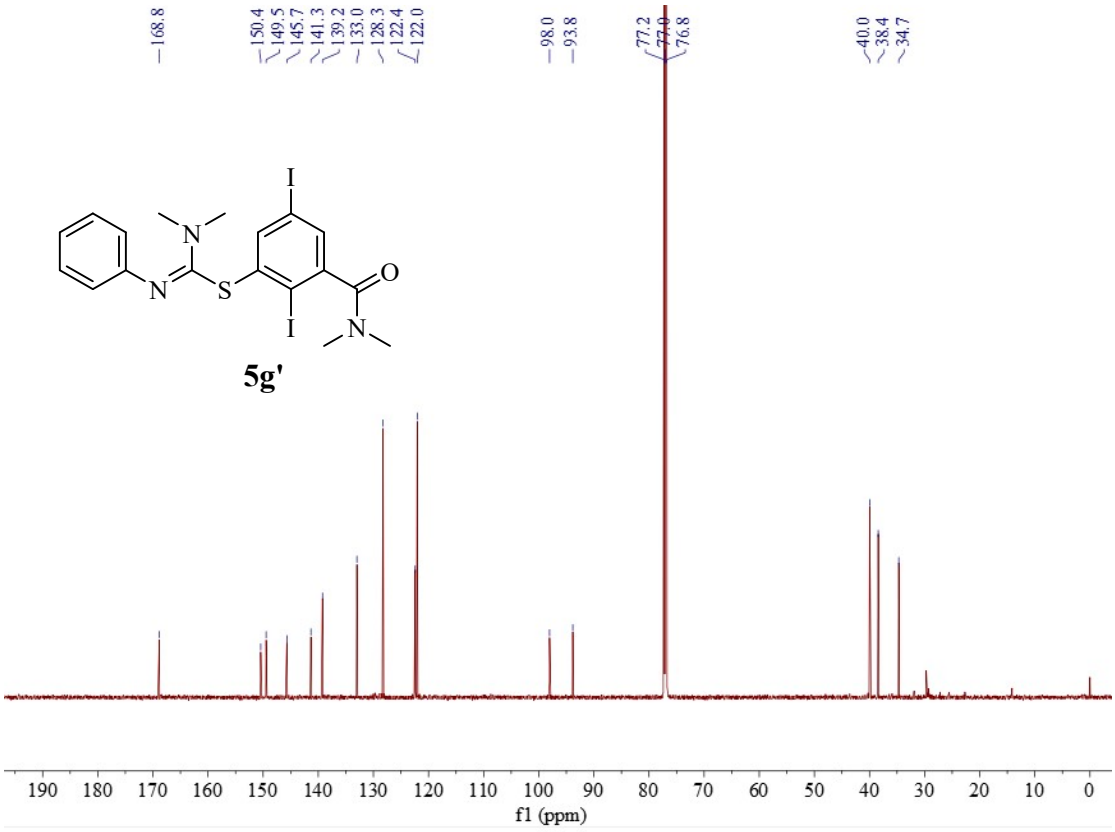
5f

^{1}H NMR (400 MHz, $CDCl_3$)



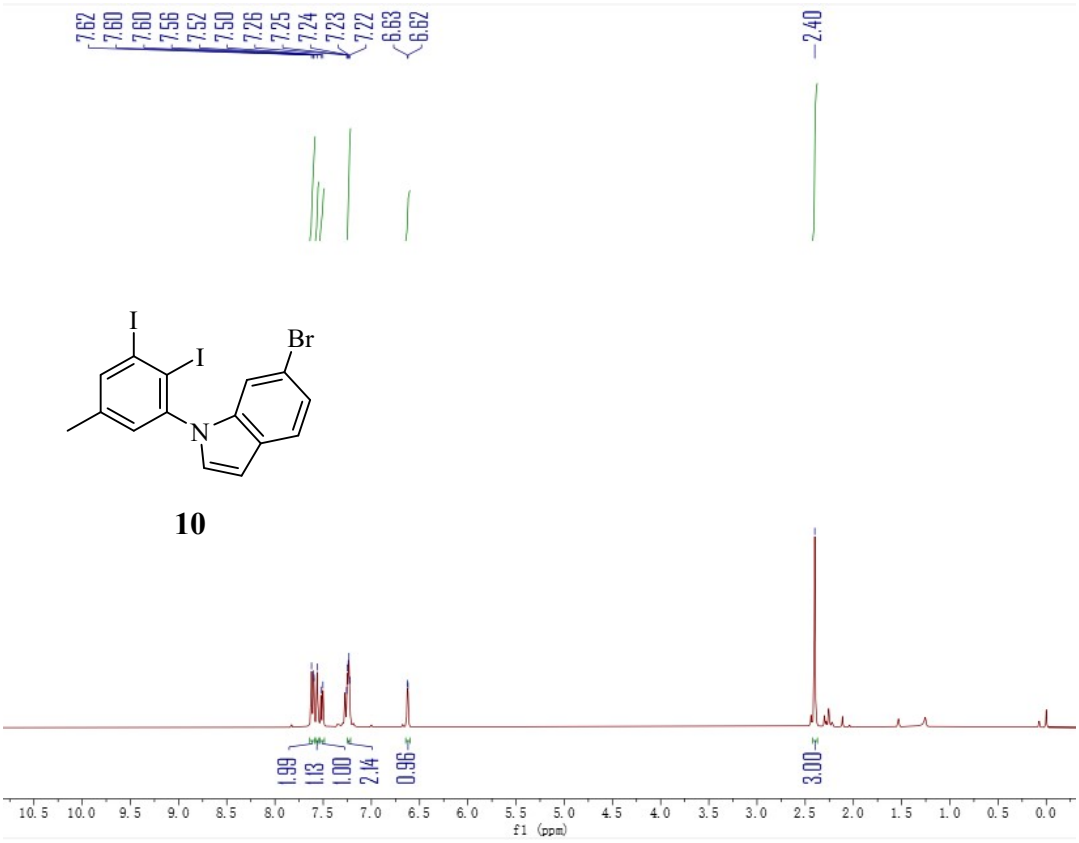
5g'

^{13}C NMR (151 MHz, $CDCl_3$)



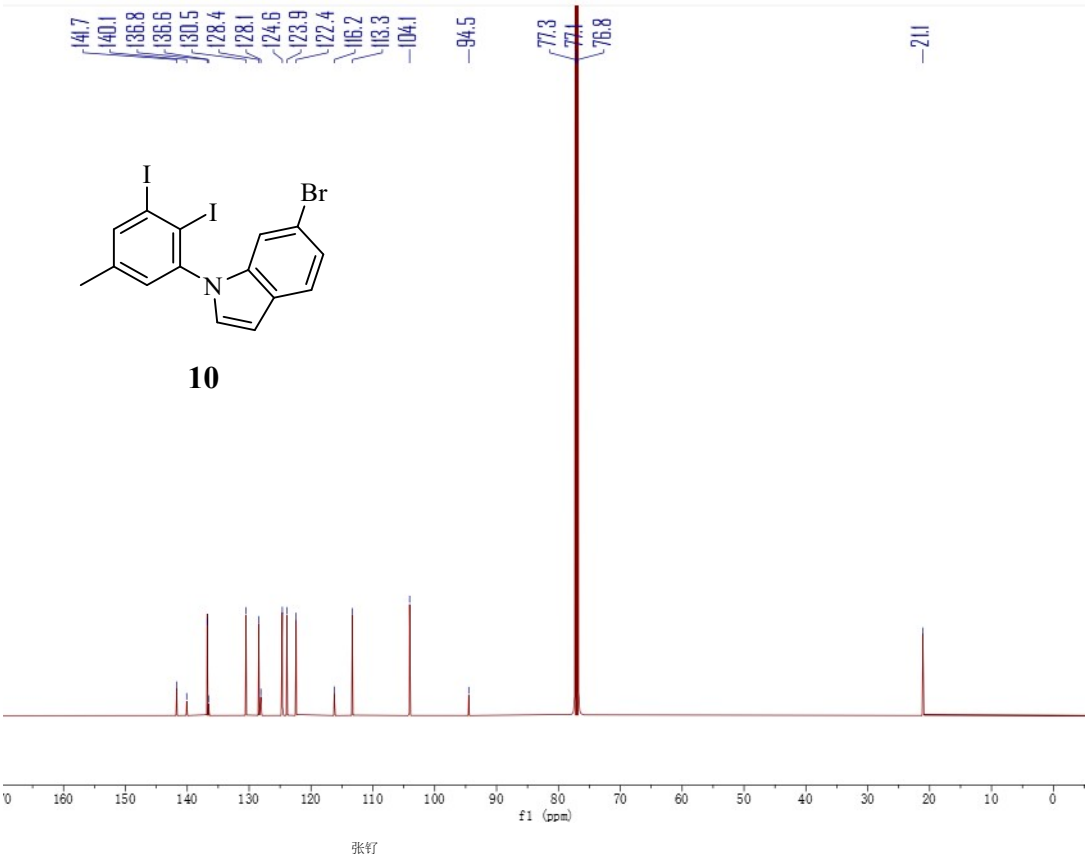
5g'

^{1}H NMR (400 MHz, $CDCl_3$)



10

^{13}C NMR (151 MHz, $CDCl_3$)



10

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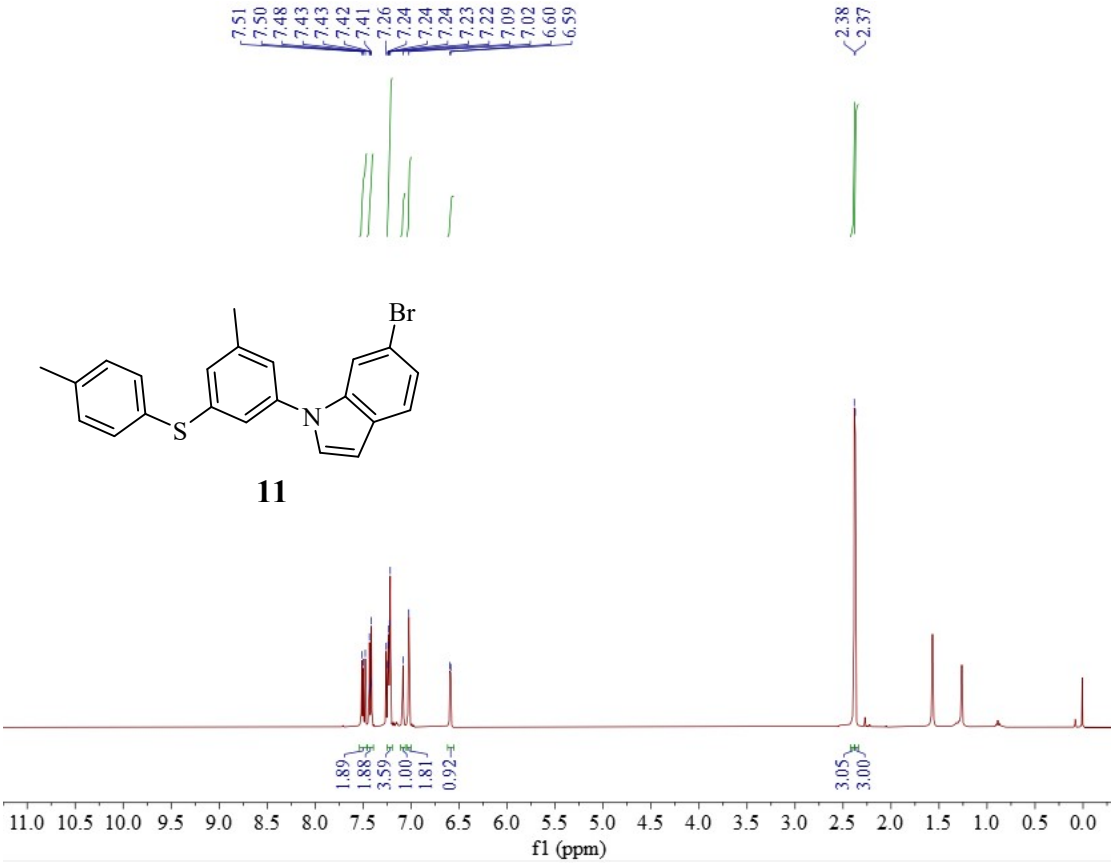
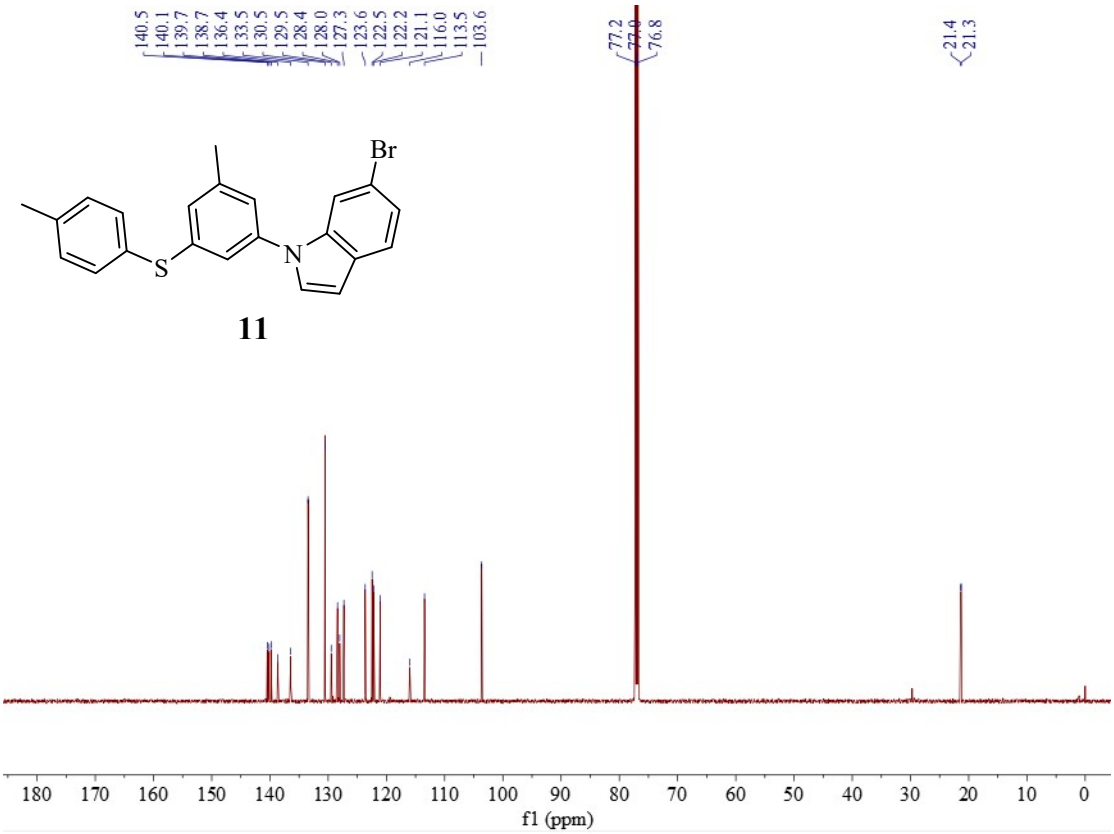
^{1}H NMR (400 MHz, $CDCl_3$)



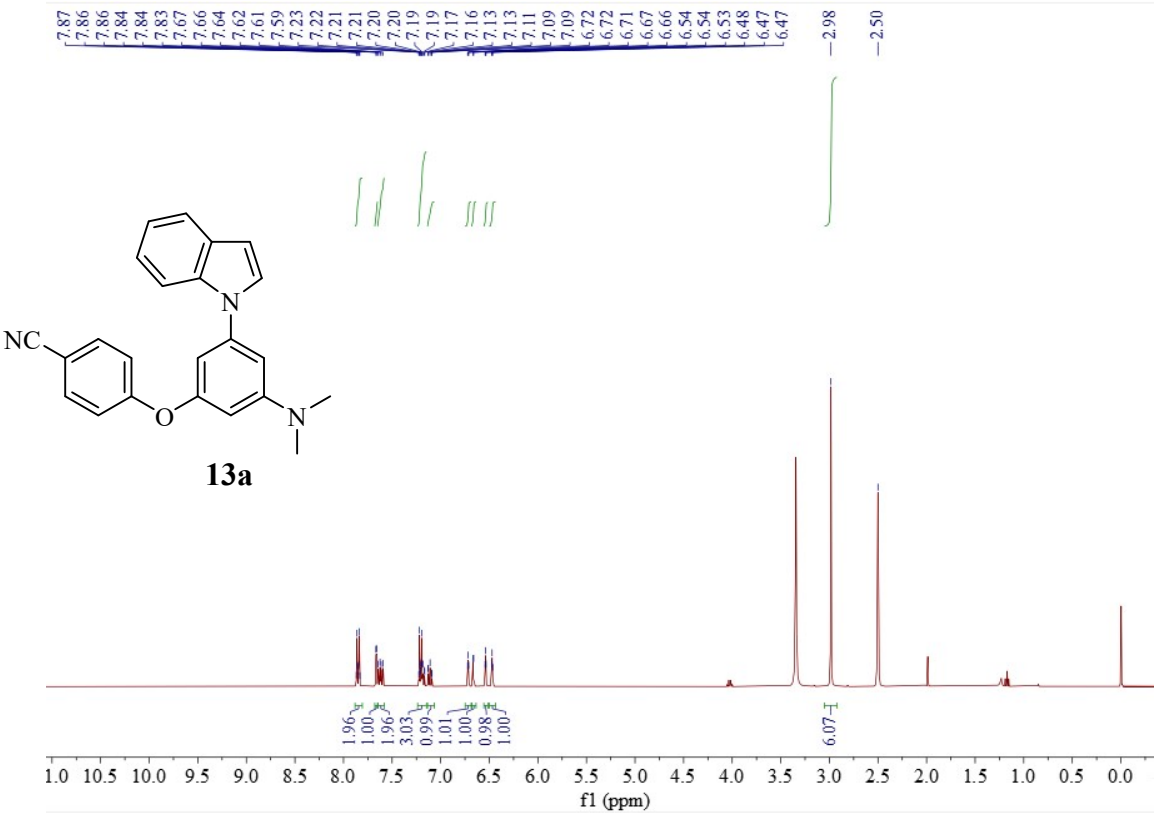
11

^{13}C NMR (151 MHz, $CDCl_3$)



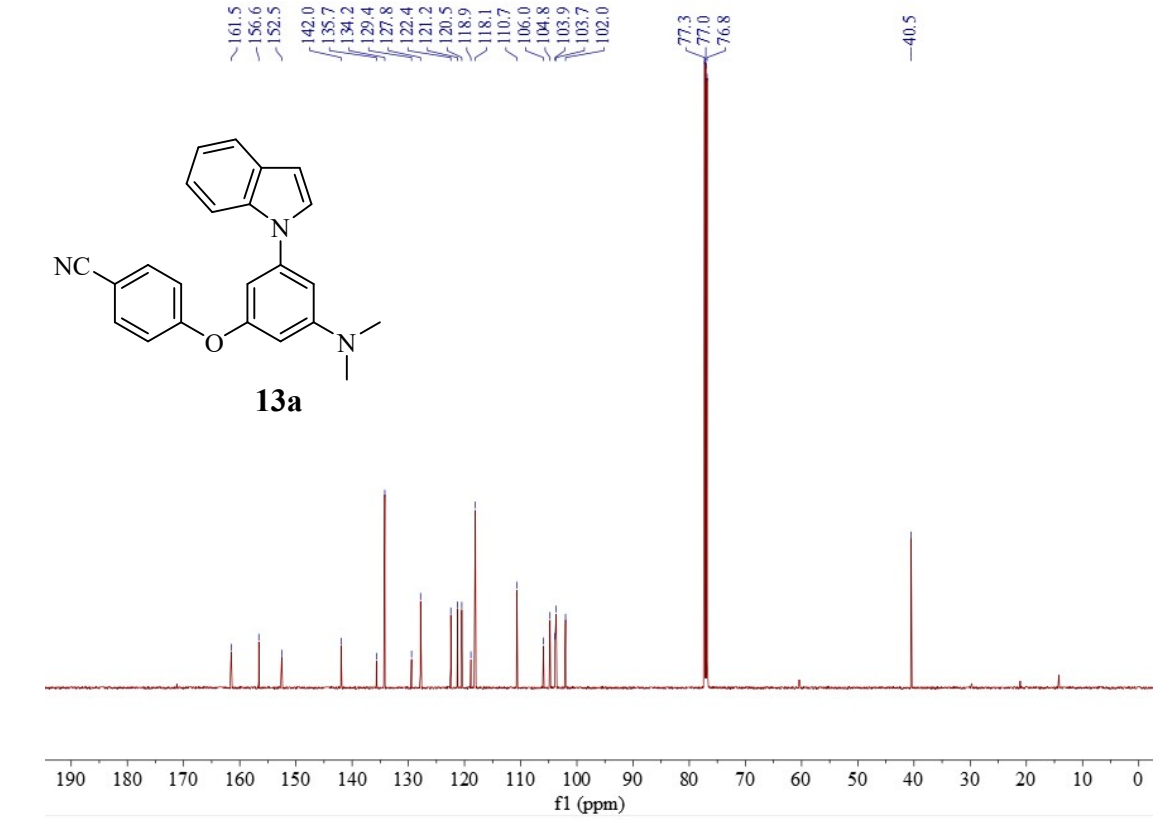
11

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



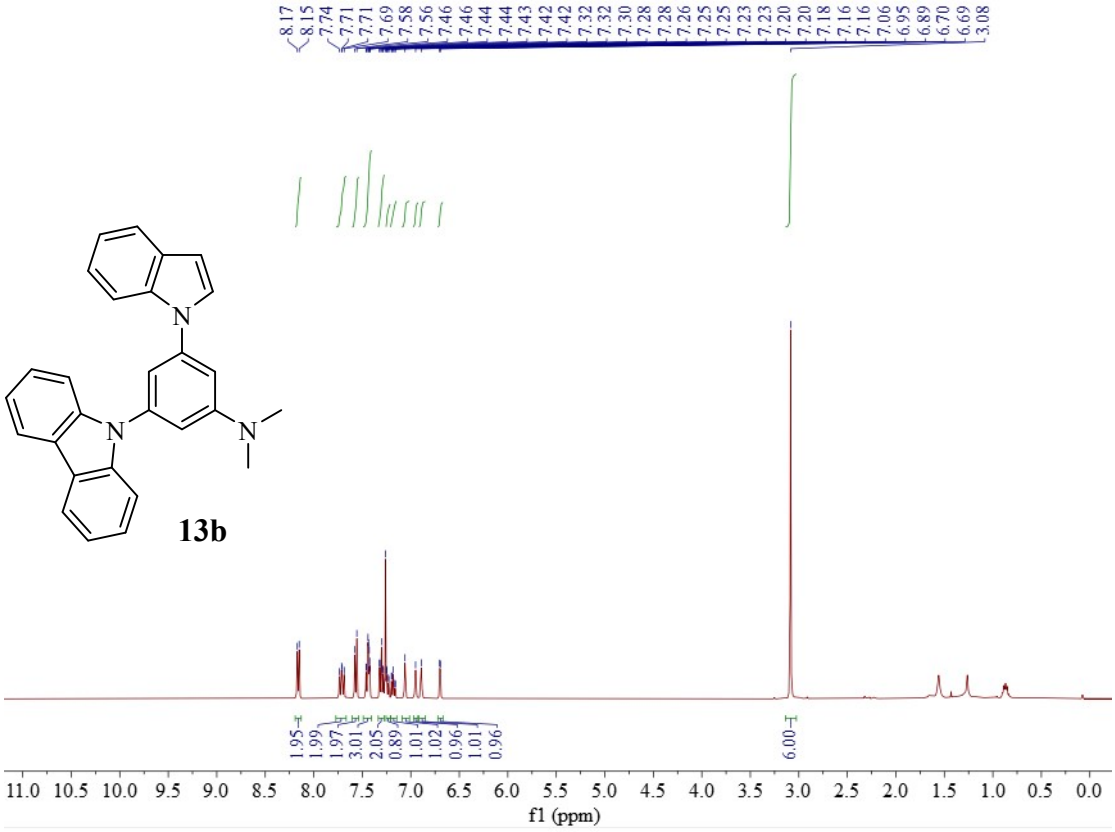
13a

^{13}C NMR (151 MHz, $CDCl_3$)

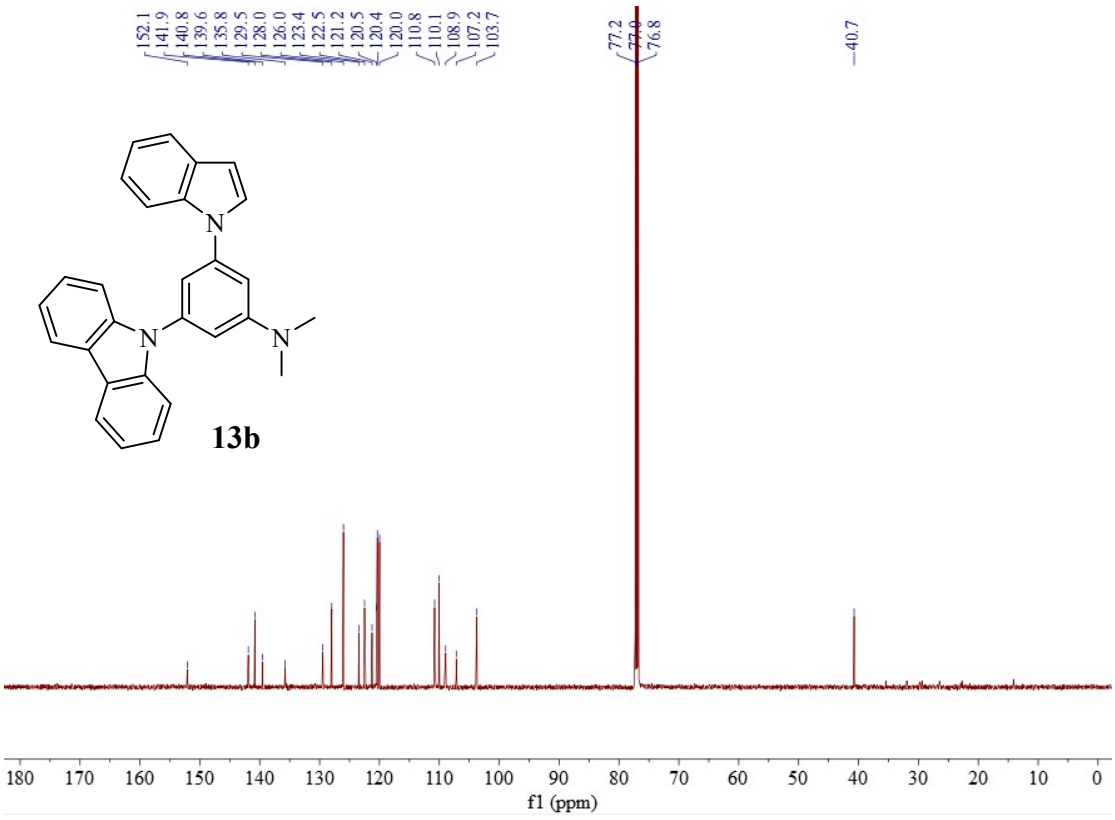


13a

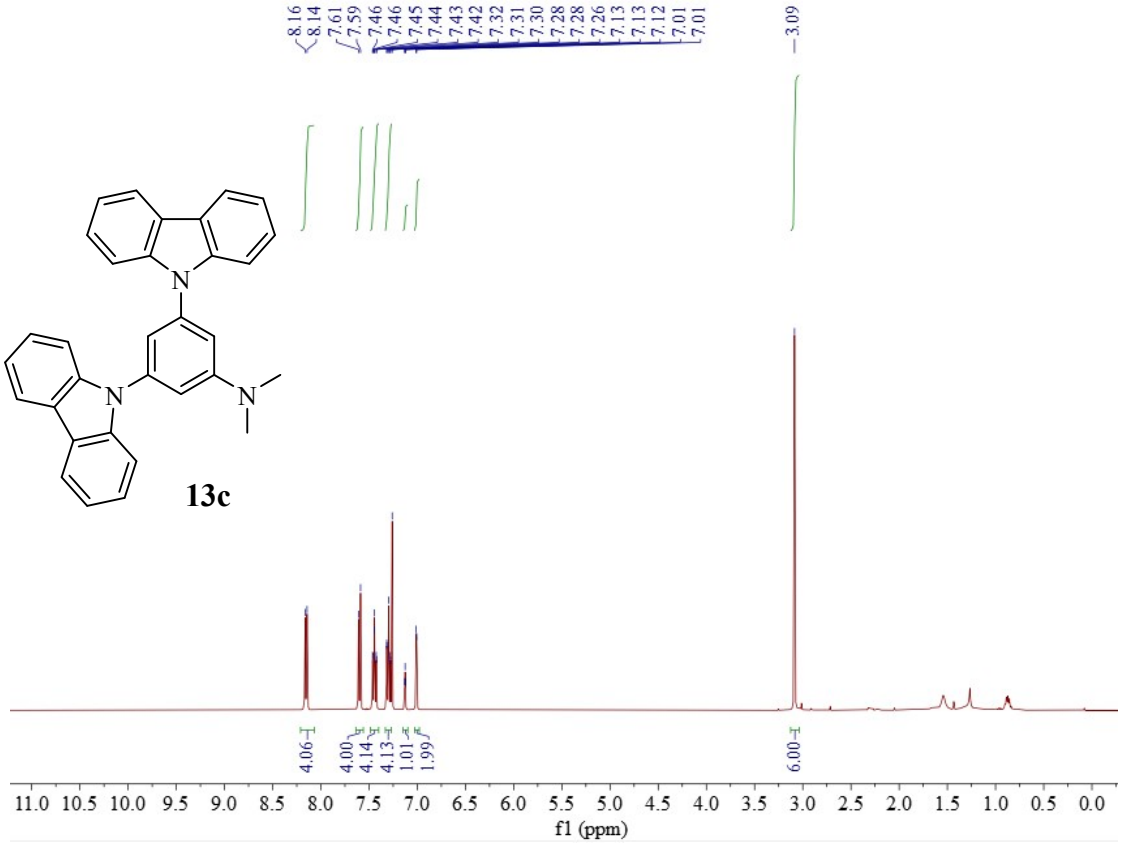
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (151 MHz, $CDCl_3$)

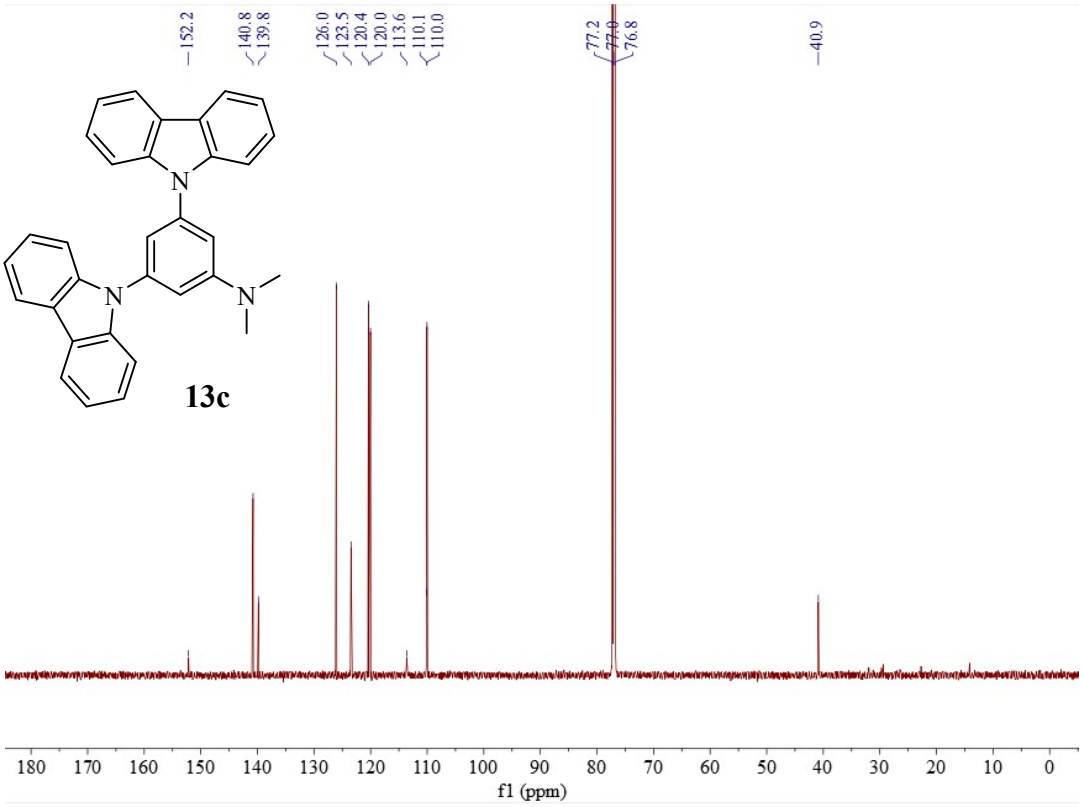


^{1}H NMR (400 MHz, $CDCl_3$)



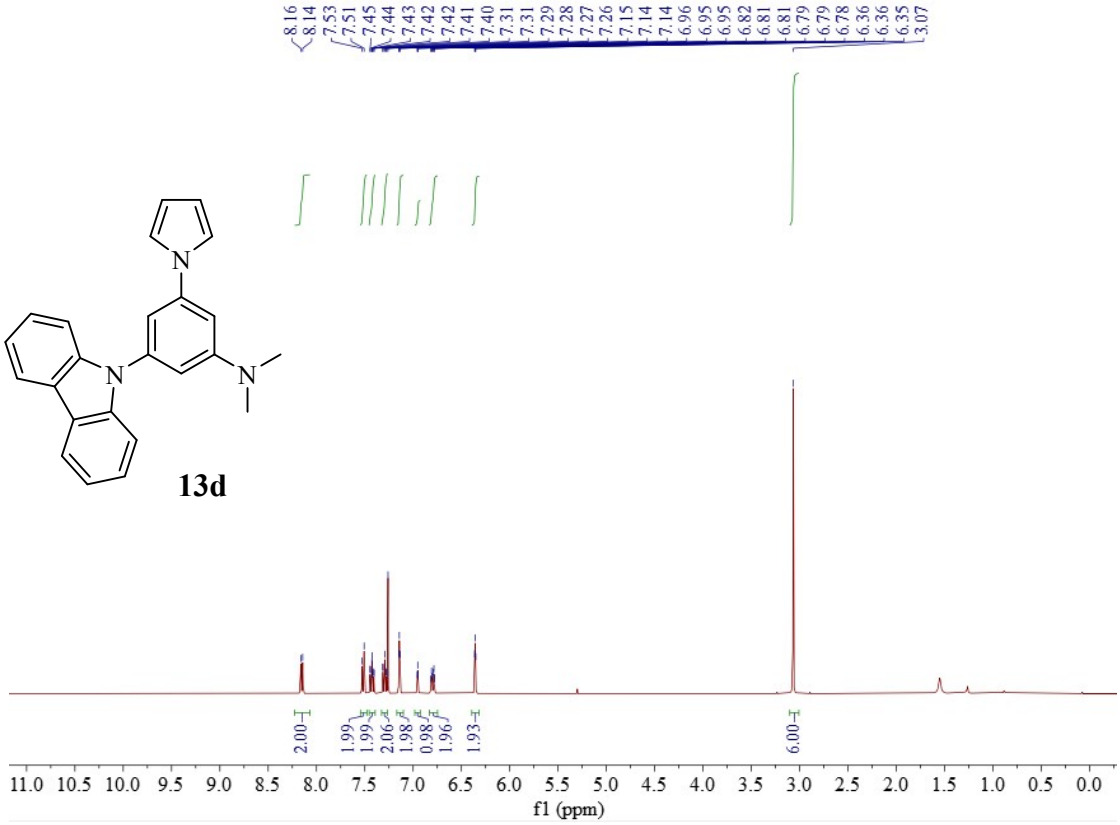
13c

^{13}C NMR (151 MHz, $CDCl_3$)



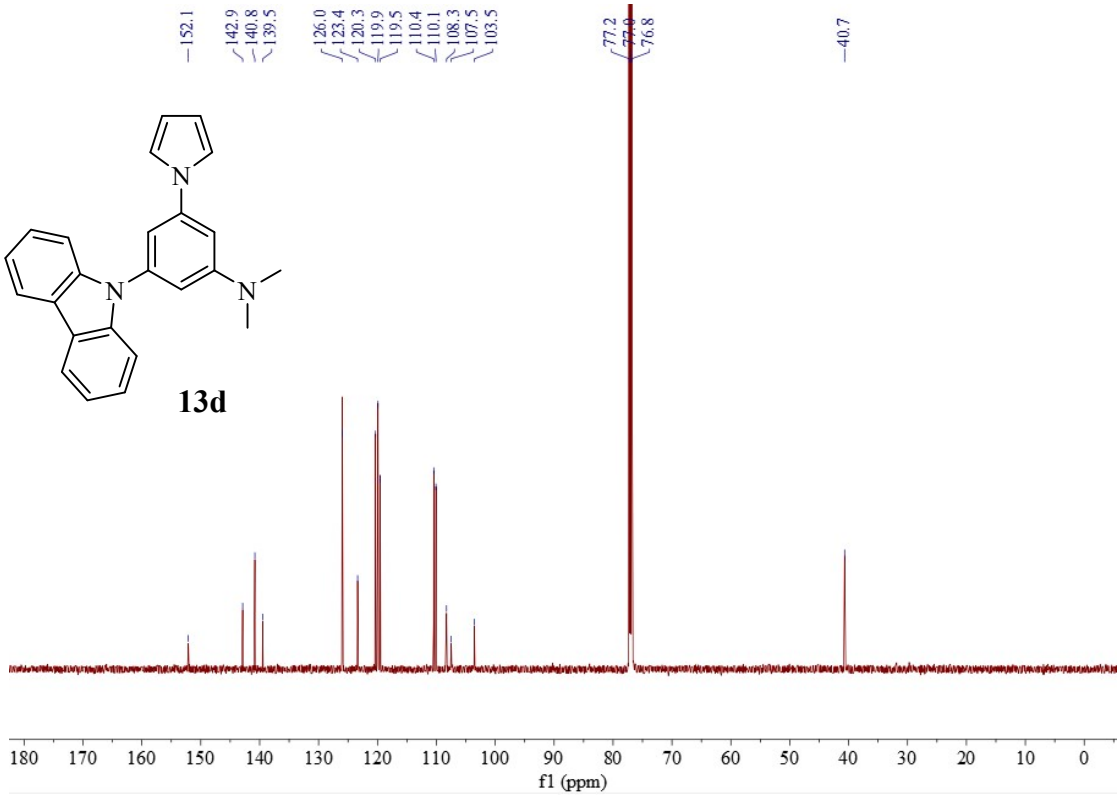
13c

^{1}H NMR (400 MHz, $CDCl_3$)



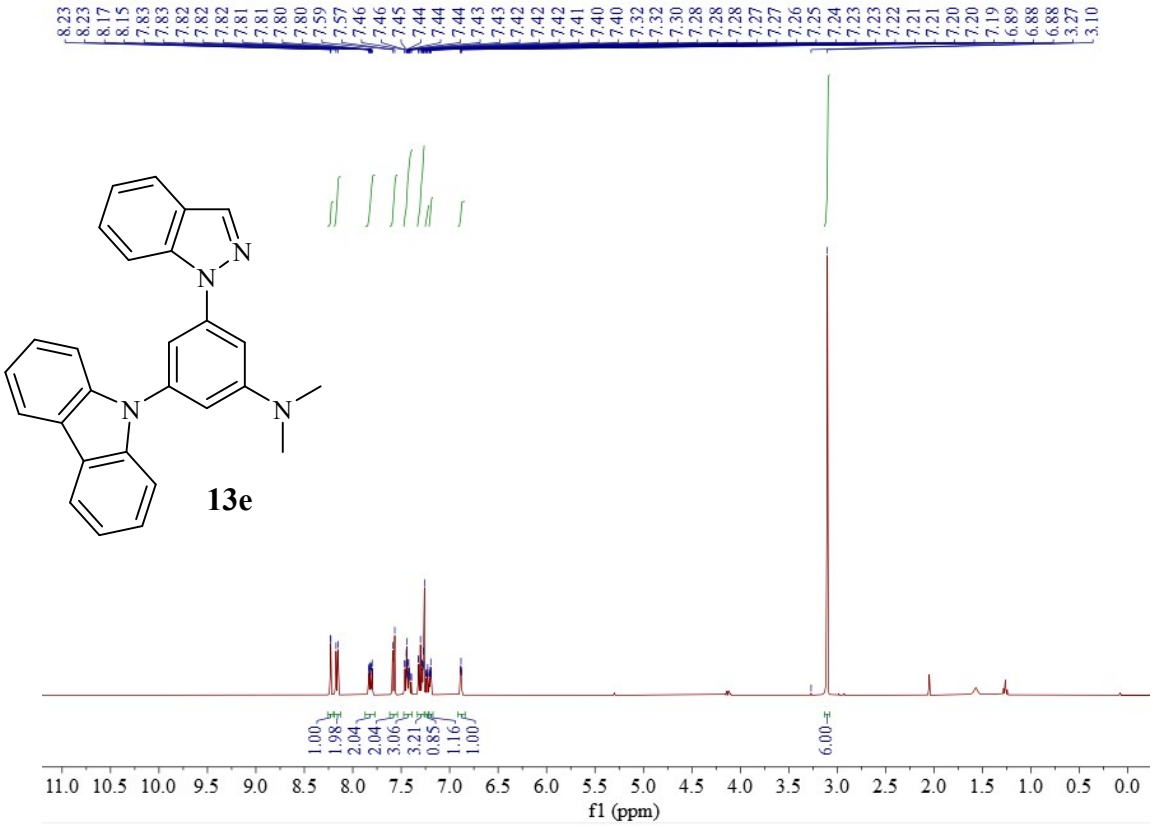
13d

^{13}C NMR (151 MHz, $CDCl_3$)

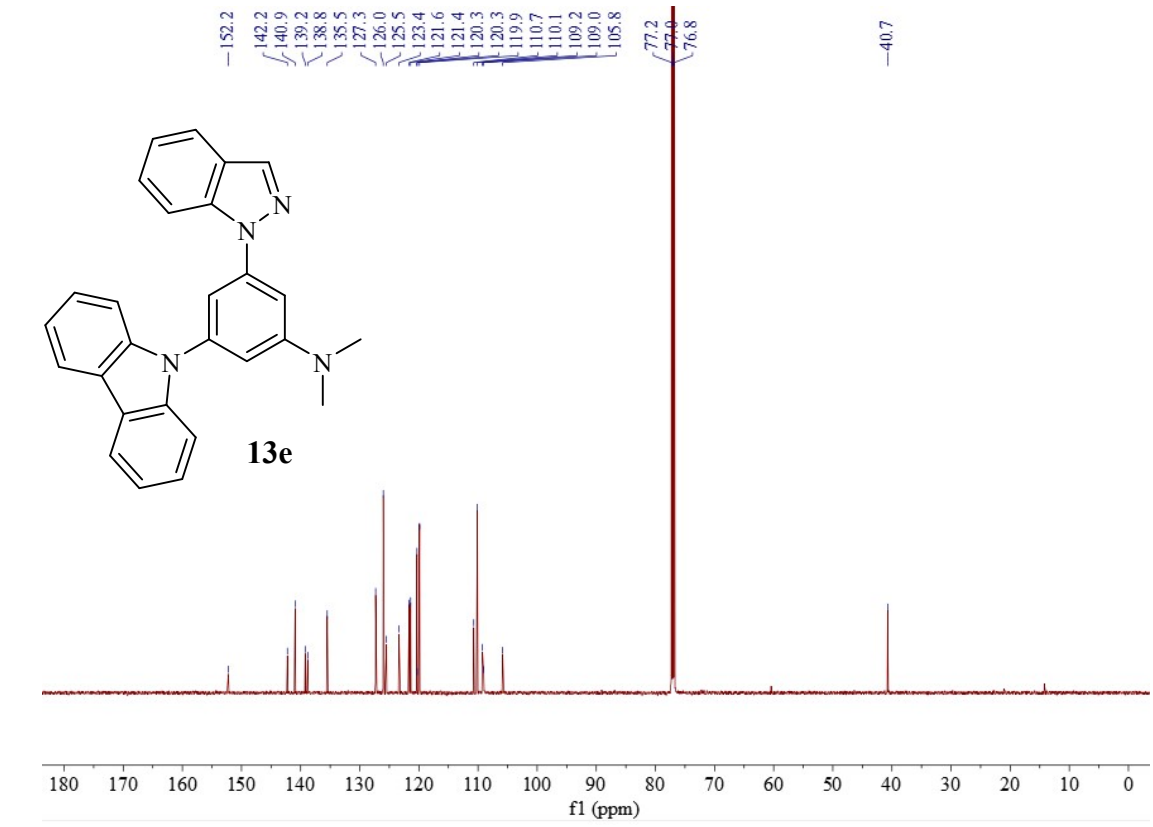


13d

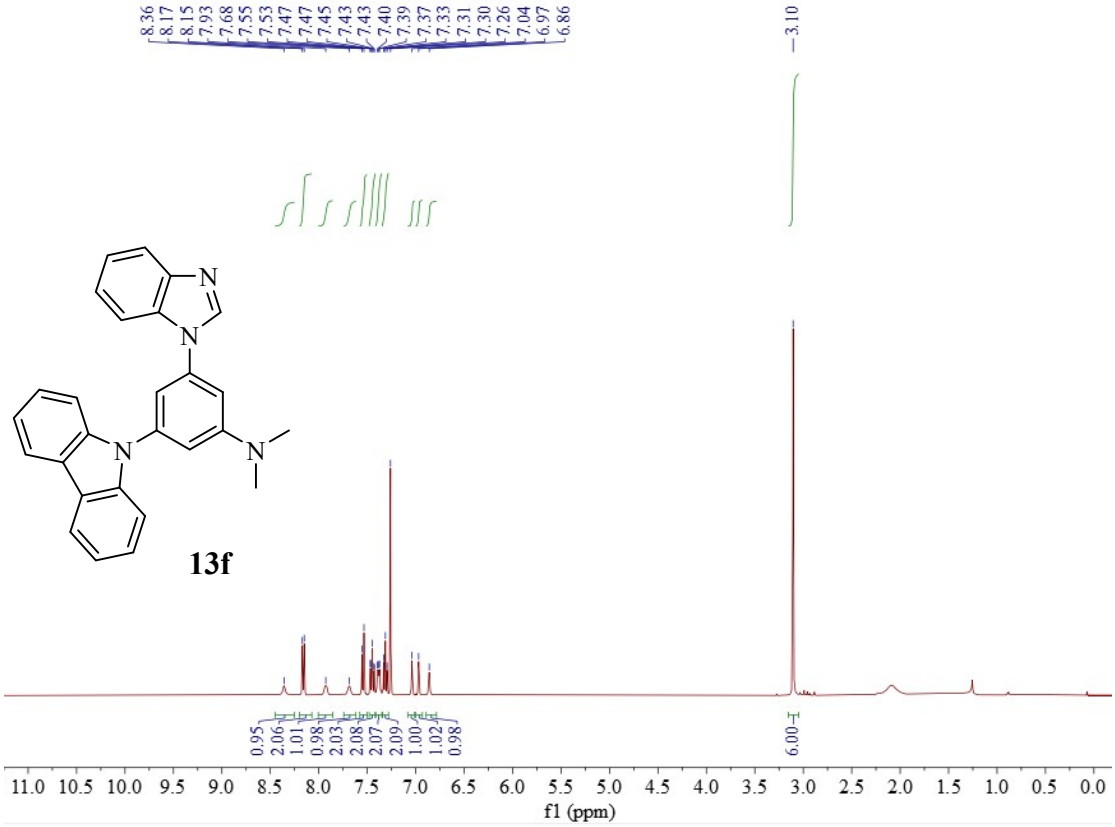
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (151 MHz, $CDCl_3$)

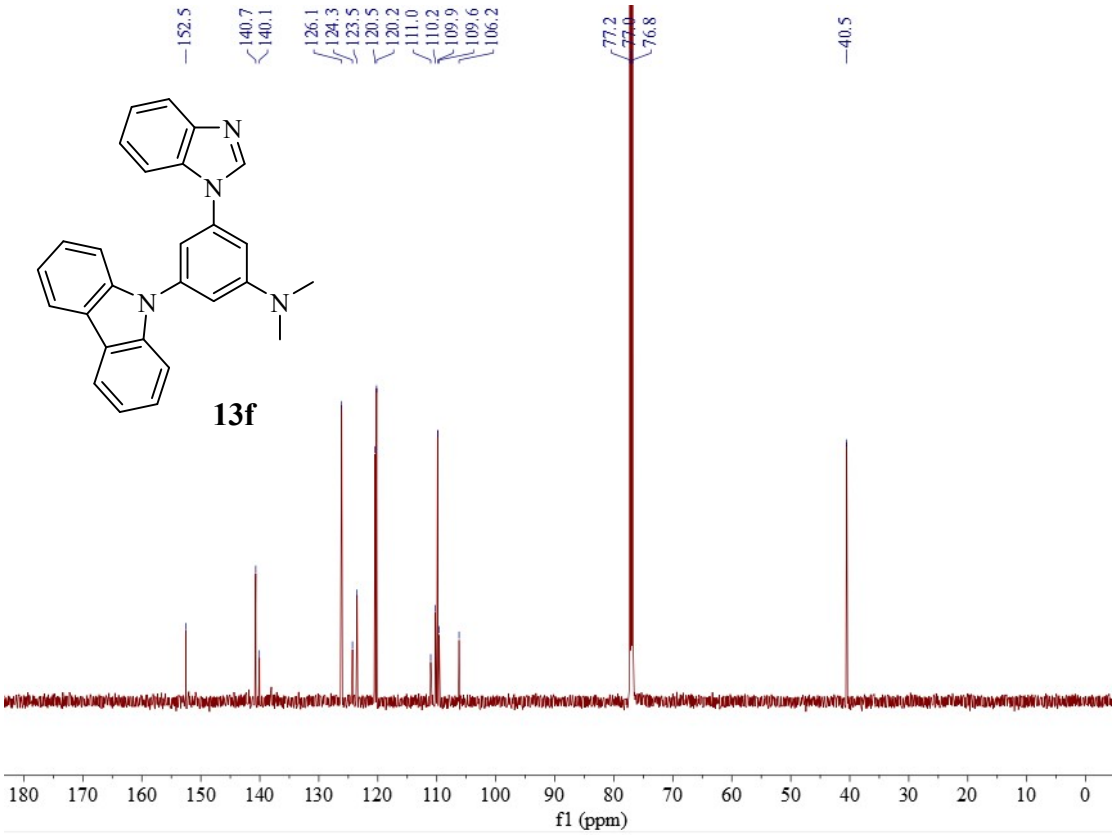


^{1}H NMR (400 MHz, $CDCl_3$)



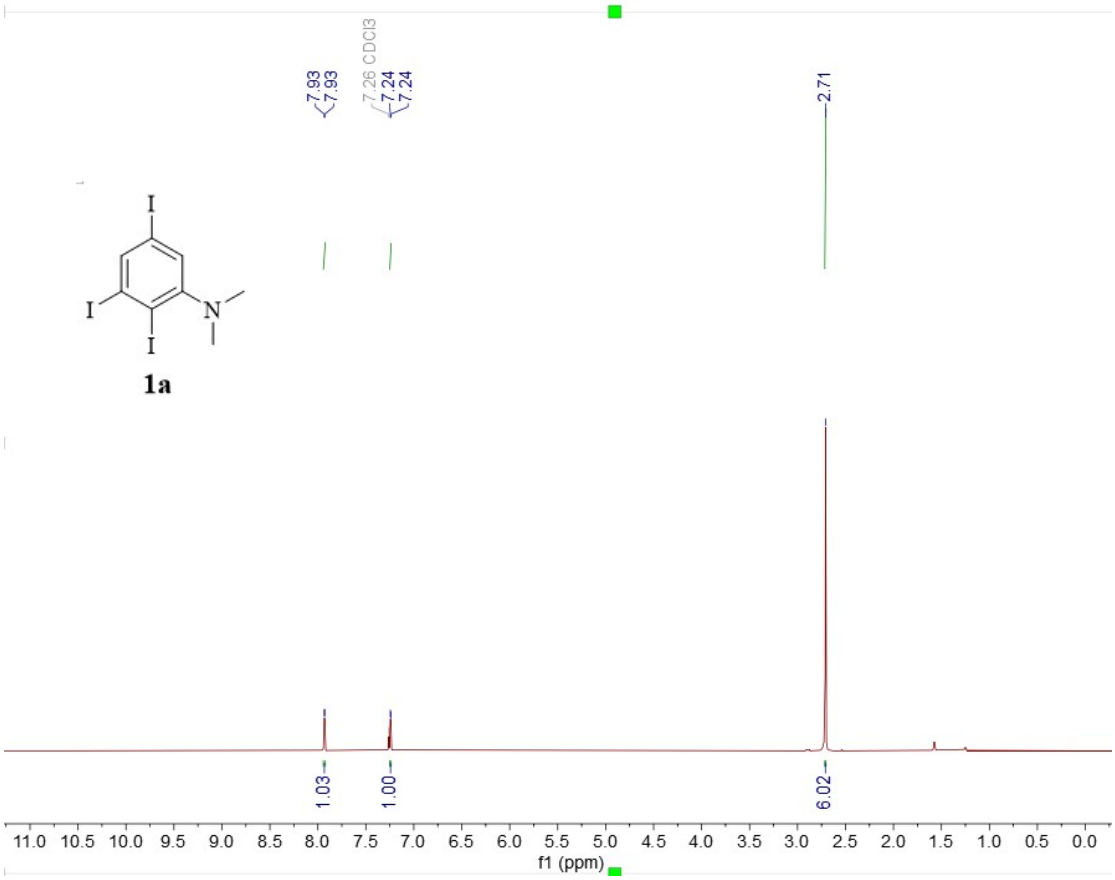
13f

^{13}C NMR (151 MHz, $CDCl_3$)



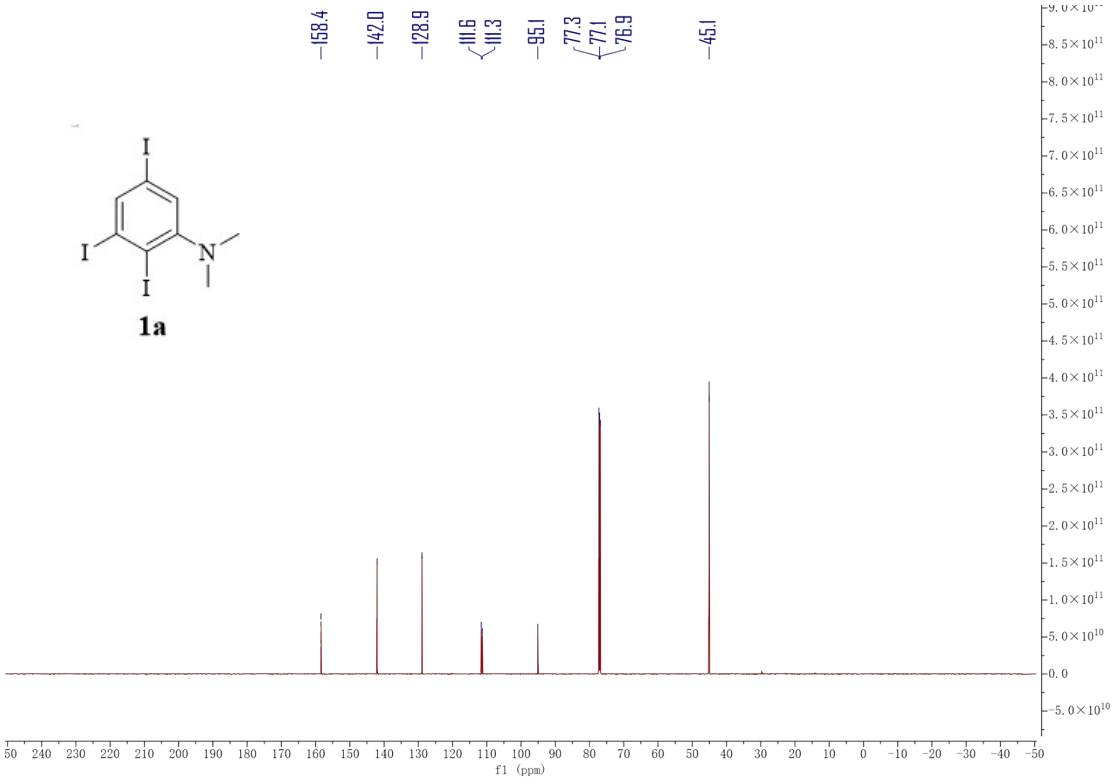
13f

^{1}H NMR (400 MHz, $CDCl_3$)



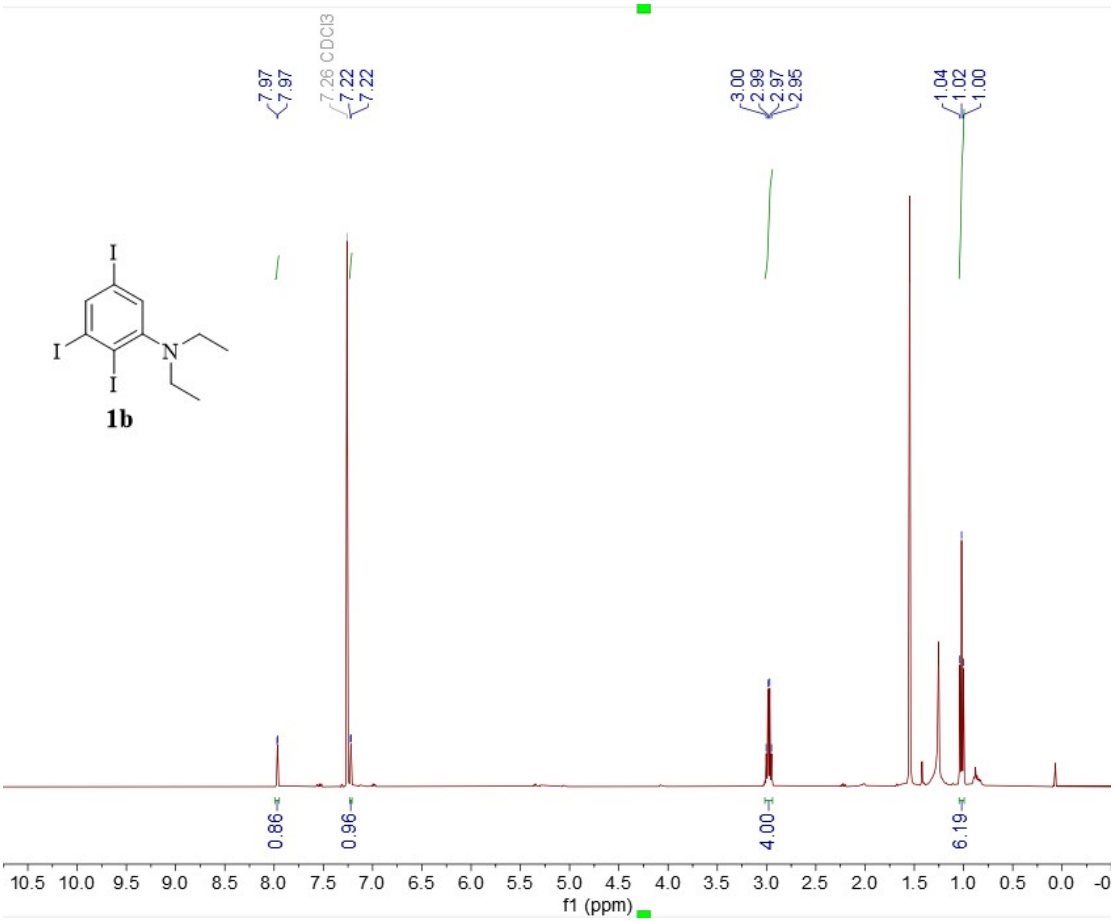
1a

^{13}C NMR (151 MHz, $CDCl_3$)

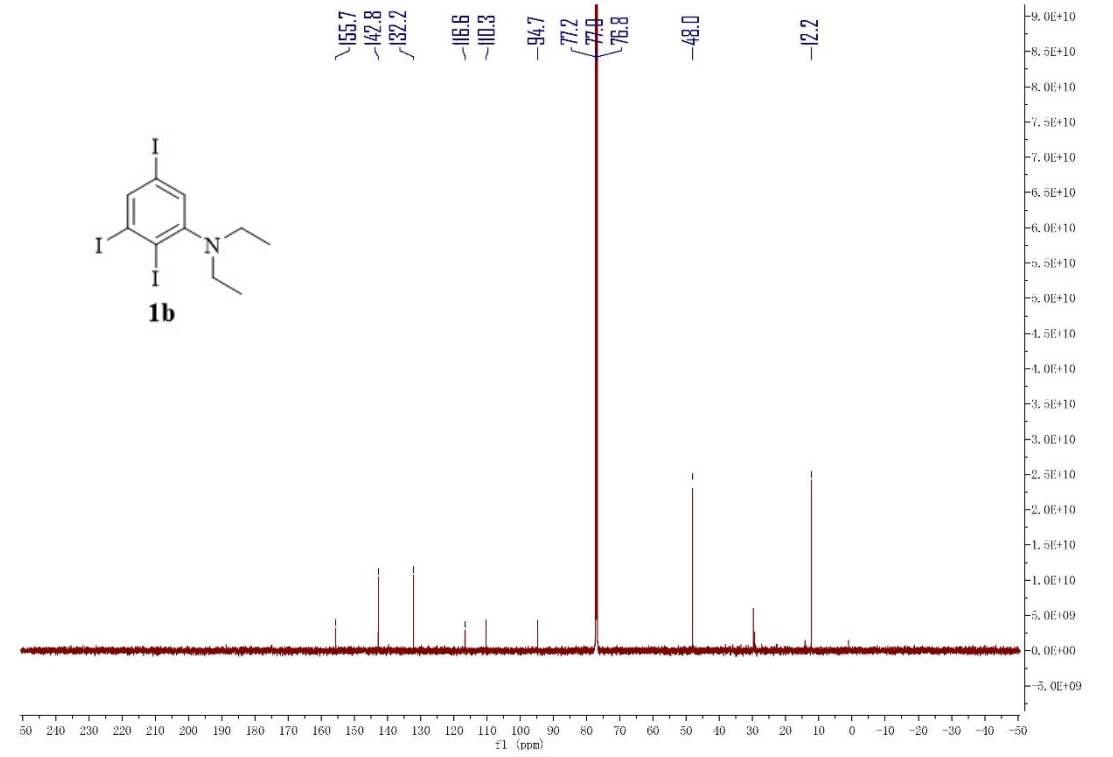


1a

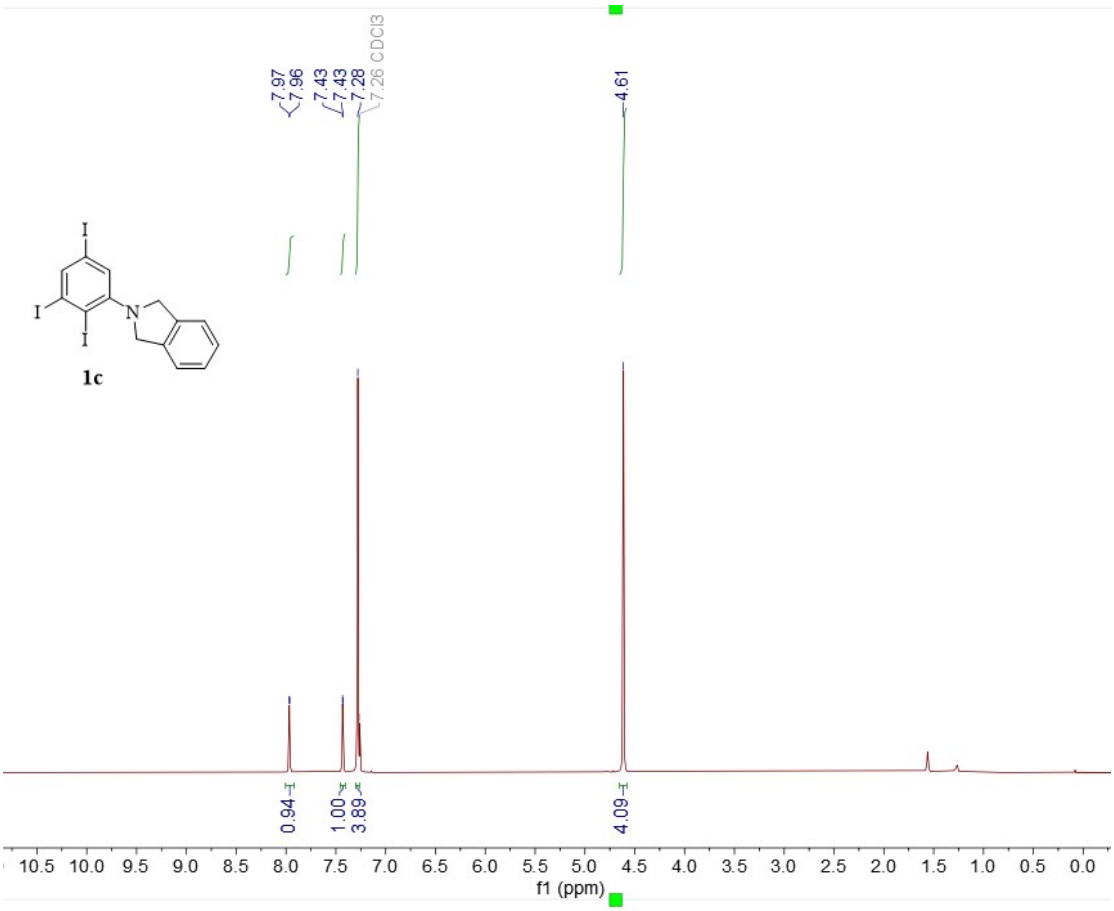
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (151 MHz, $CDCl_3$)

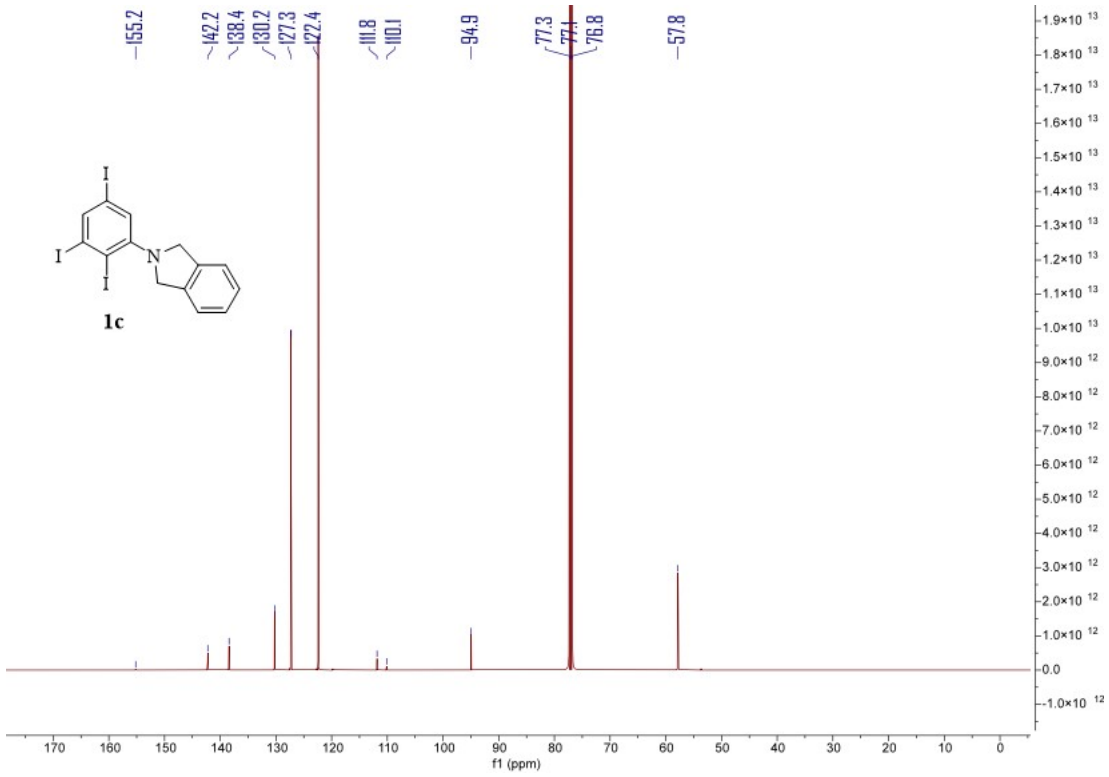


^{1}H NMR (400 MHz, $CDCl_3$)



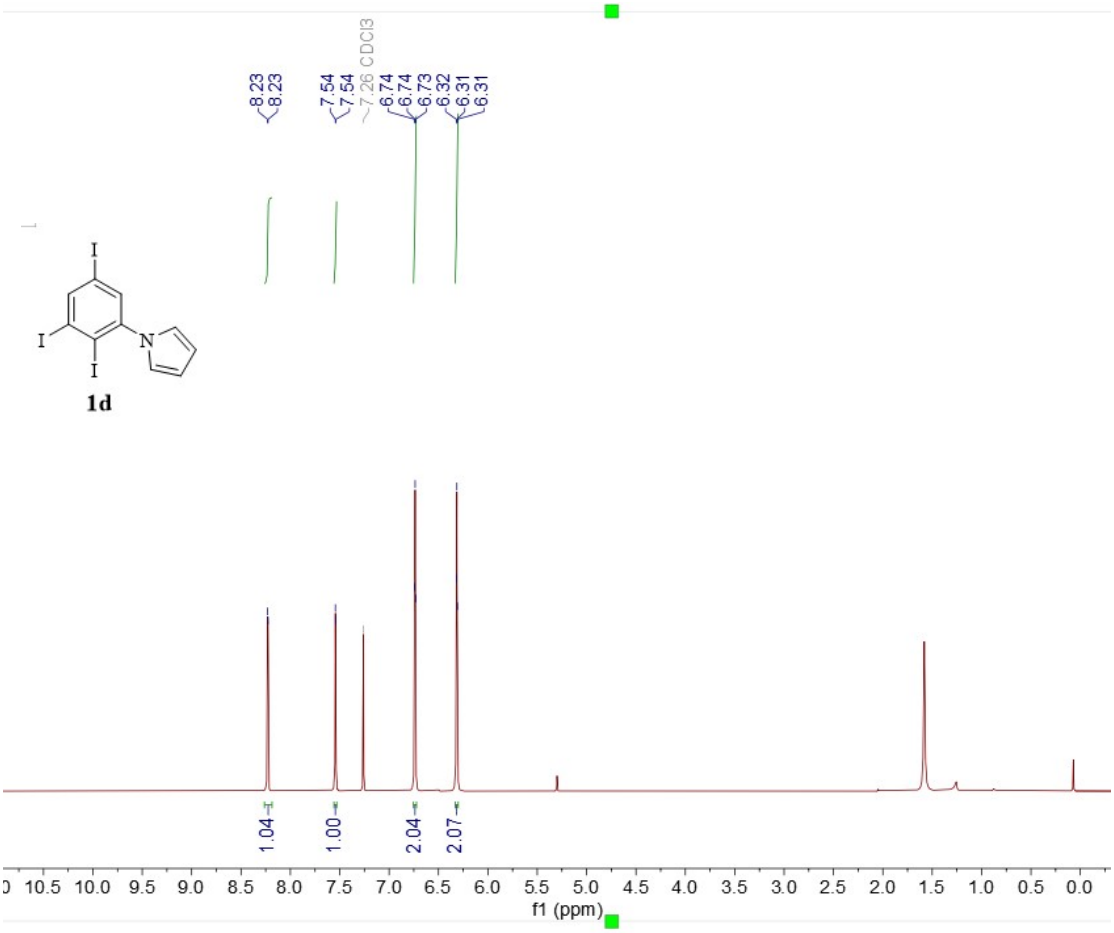
1c

^{13}C NMR (151 MHz, $CDCl_3$)

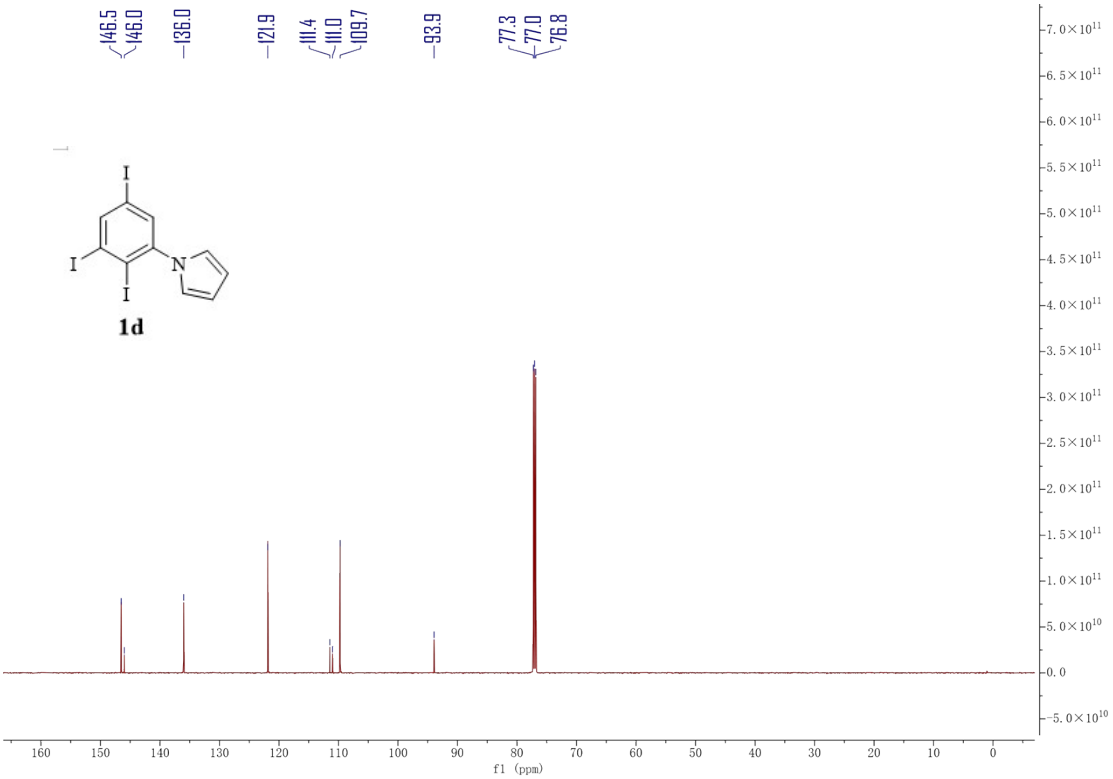


1c

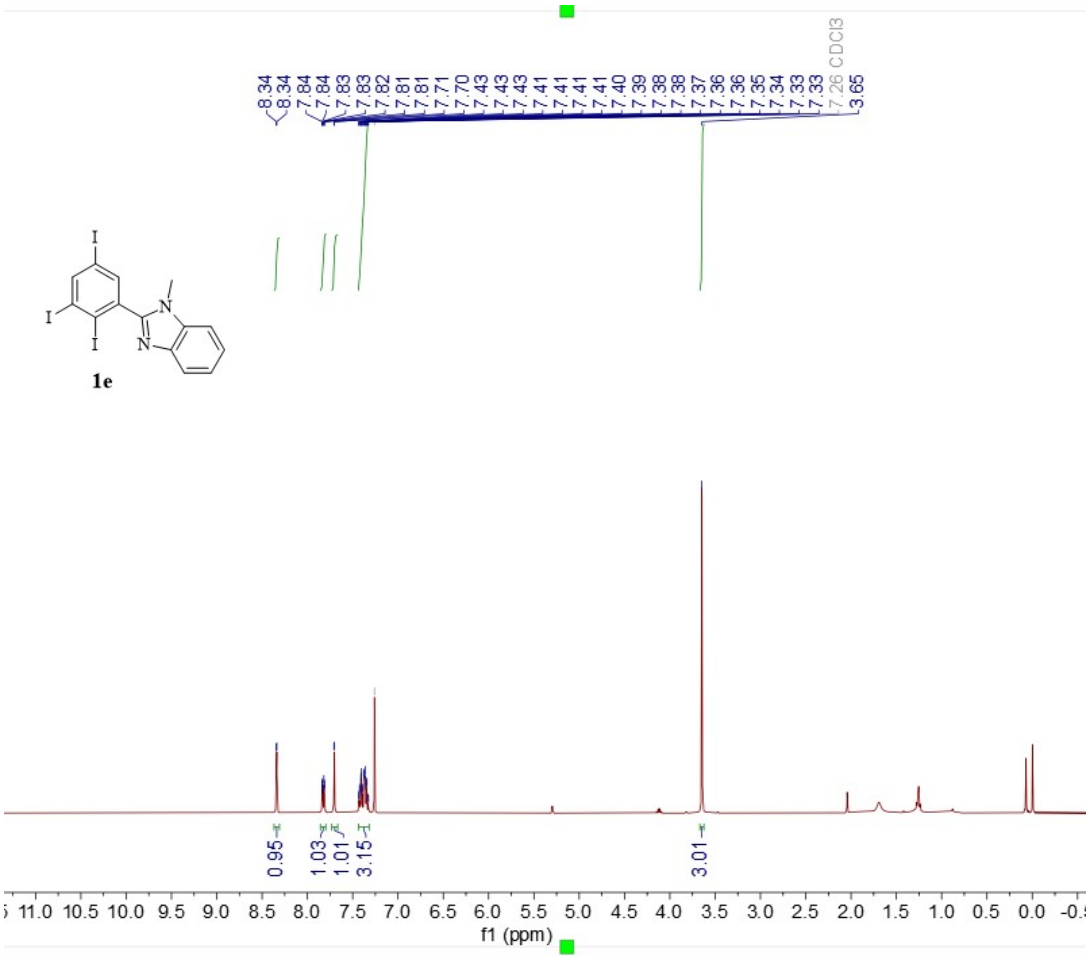
^{1}H NMR (400 MHz, $CDCl_3$)



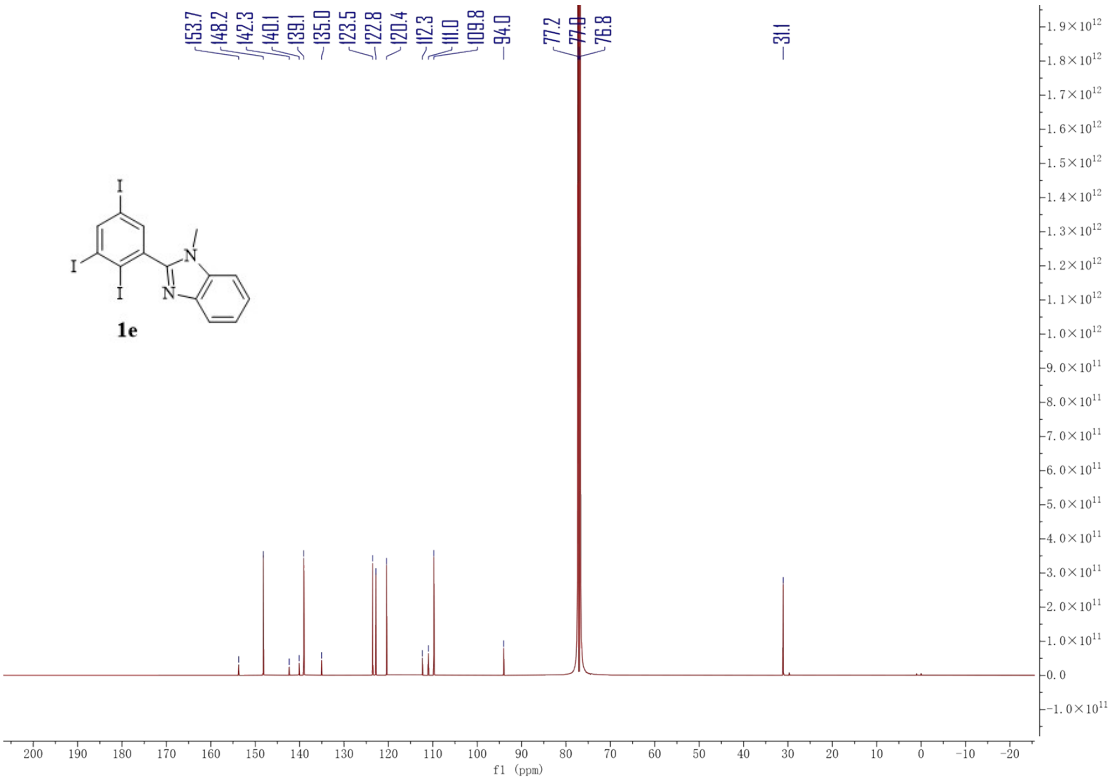
^{13}C NMR (151 MHz, $CDCl_3$)



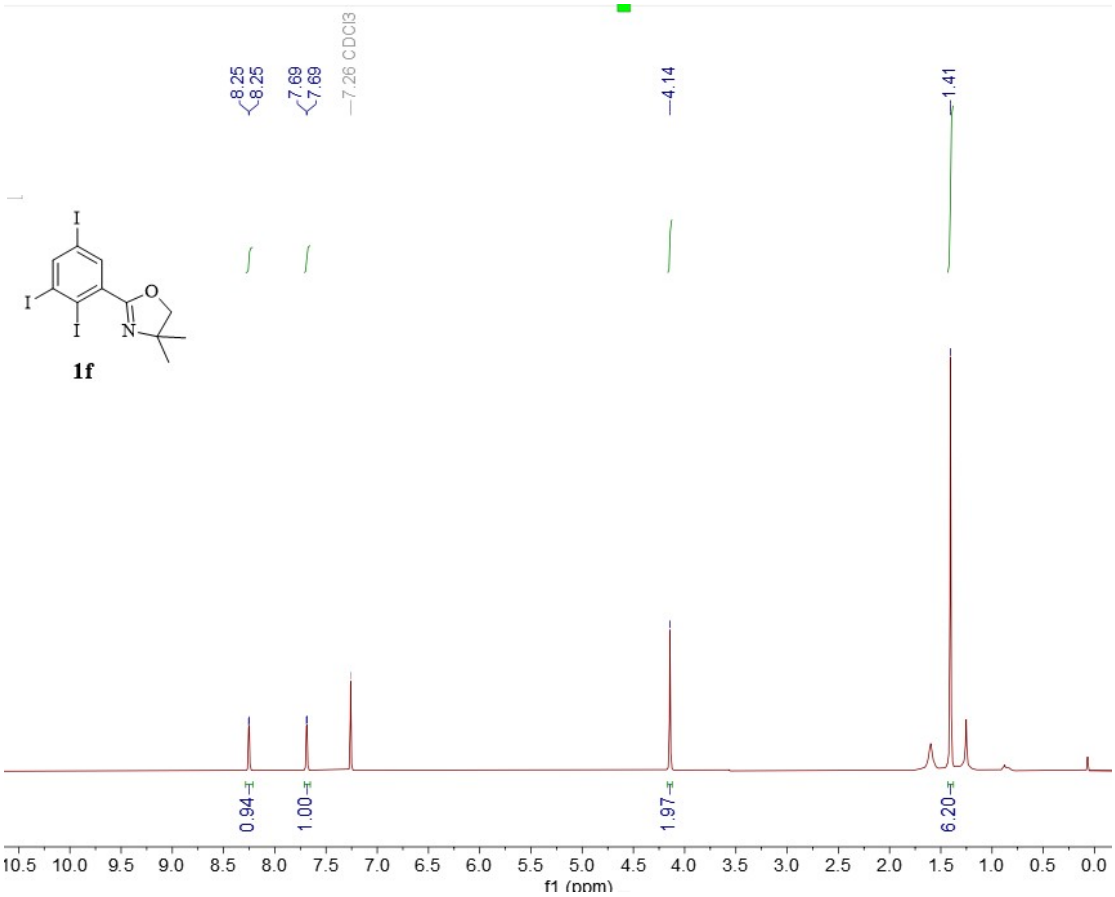
^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (151 MHz, $CDCl_3$)

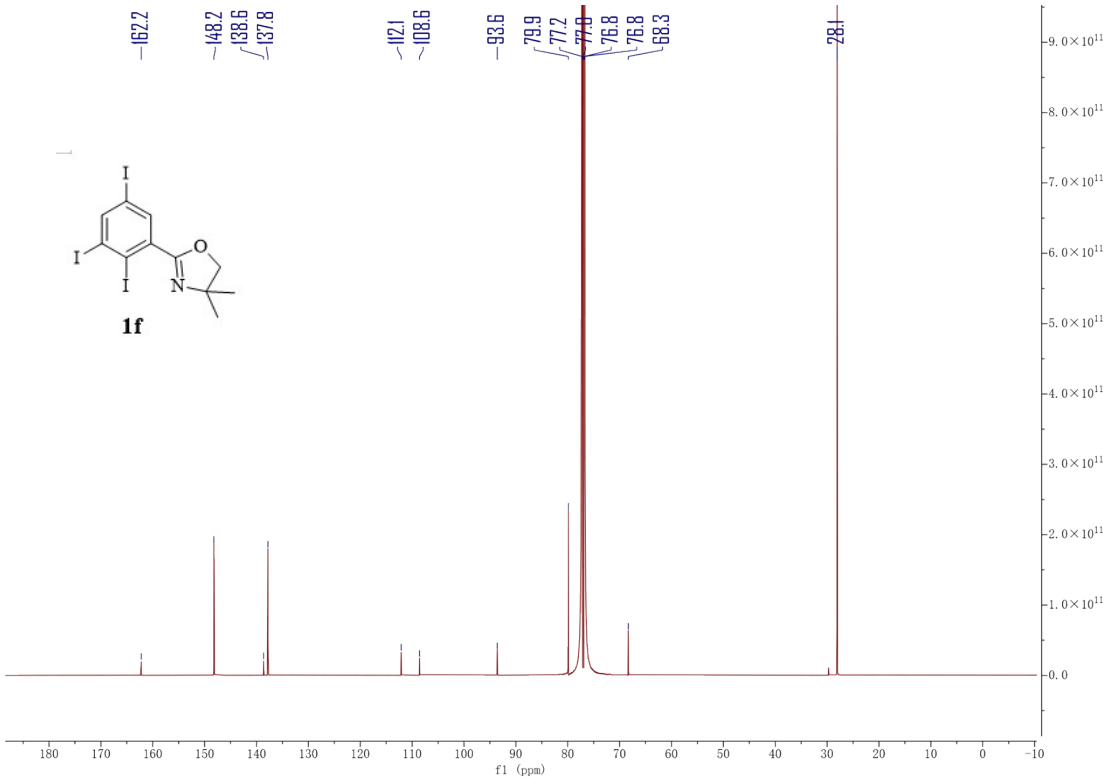


^{1}H NMR (400 MHz, $CDCl_3$)



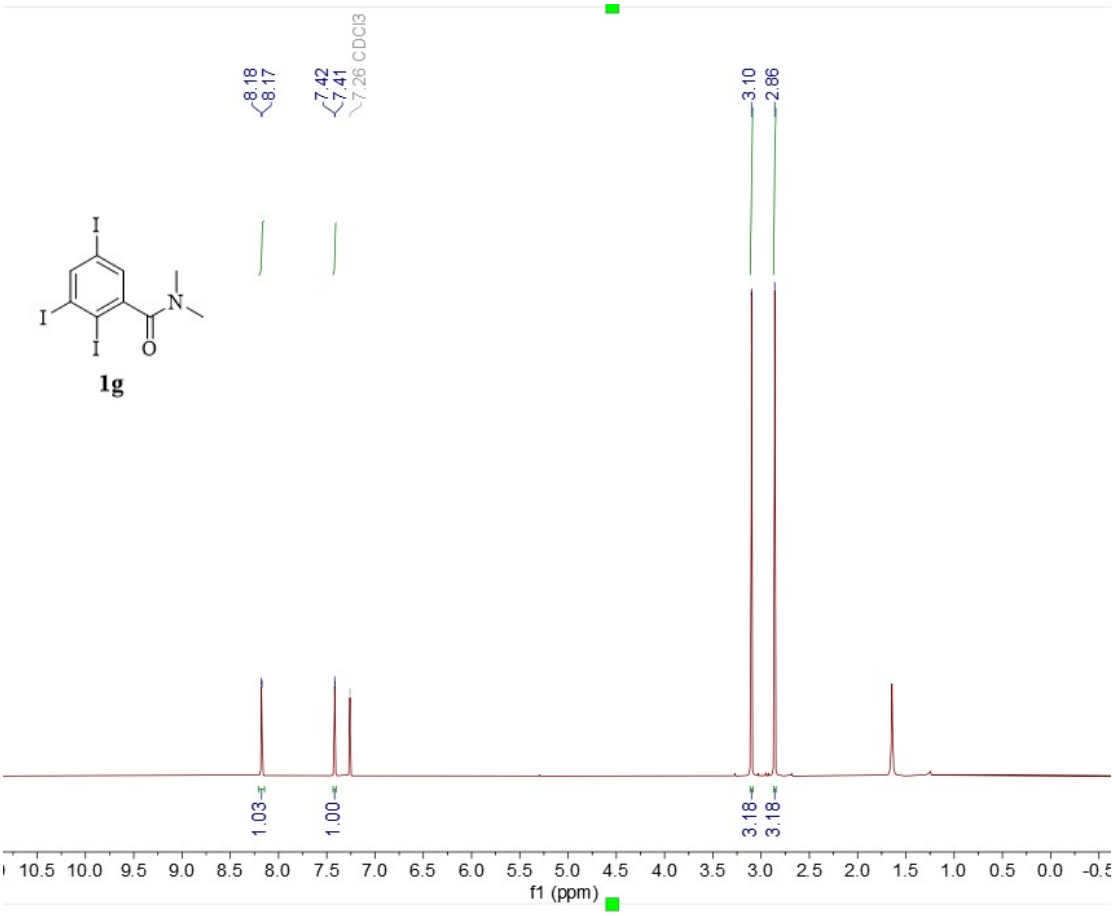
1f

^{13}C NMR (151 MHz, $CDCl_3$)



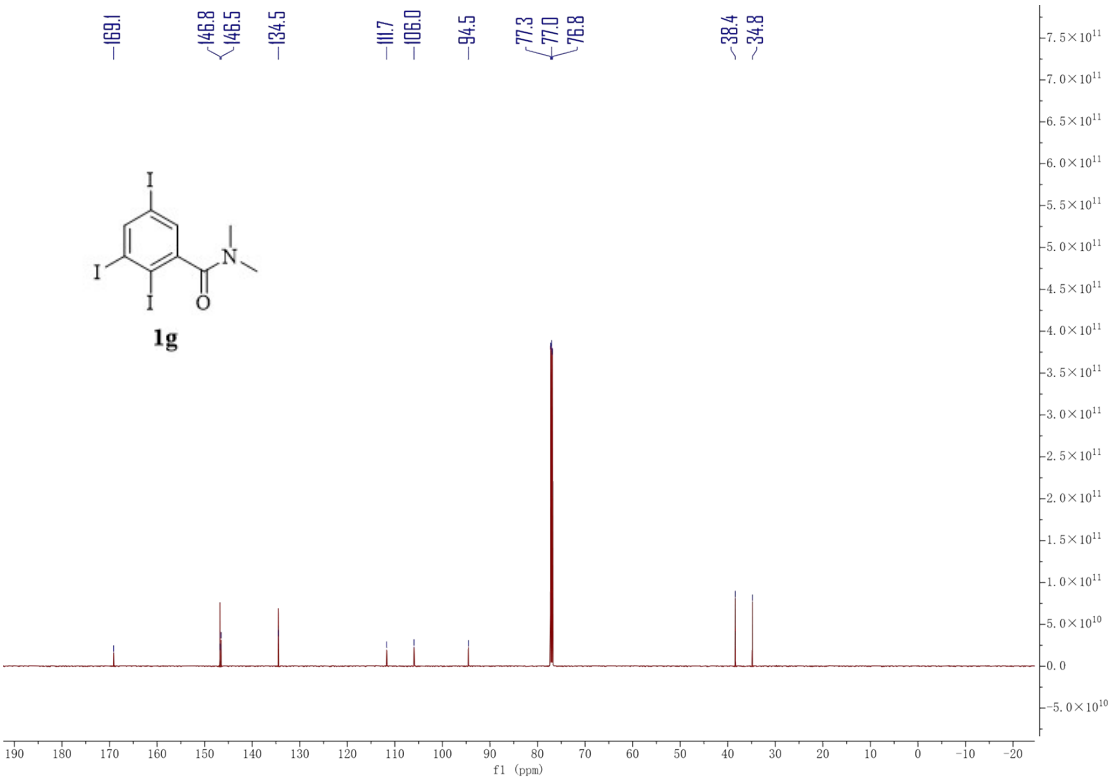
1f

^{1}H NMR (400 MHz, $CDCl_3$)



1g

^{13}C NMR (151 MHz, $CDCl_3$)



1g