Supporting Information

Bismuth vanadate: A versatile heterogeneous catalyst for photocatalytic functionalization of C(sp^2)–H bonds

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1. General Information

1.1 Materials and instruments

All commercially available reagents were used directly without further purification. All reactions were monitored by Thin Layer Chromatography (TLC) using silica gel F254 plates. Products were purified by column chromatography using 200-300 mesh silica gel as the stationary phase. All the ^{1}H, ^{13}C and ^{19}F NMR spectra were recorded on Bruker Avance 400 or 600 spectrometers. All NMR spectra were recorded in $CDCl_3$ or DMSO-d_6 at room temperature (20 ± 2 °C). Proton chemical shifts δ were given in ppm using TMS as the internal standard. High-resolution mass spectra (HRMS) were obtained with a 3000-mass spectrometer, using Waters Q-Tof MS/MS system with the ESI technique. Photocurrent test was performed on the CHI-660E electrochemical workstation (Shanghai Chenhua Instrument Co., Ltd., China).

1.2 The spectrum of our lamp and the visible-light irradiation instrument.

Photochemical reaction was carried out under visible light irradiation by a blue LED at 25 ºC. RLH-18 8-position Photo Reaction System manufactured by Beijing Roger Tech Ltd. was used in this system. Eight 10 W blue LEDs were equipped in this Photo reactor. The blue LED'S energy peak wavelength is 458 nm, peak width at half-height is 23.4 nm, lirradiance@10 W is 188.65 mW/cm^2. The reaction vessel is a borosilicate glass tube with 1.5 cm from the lamp, and no filter is applied.

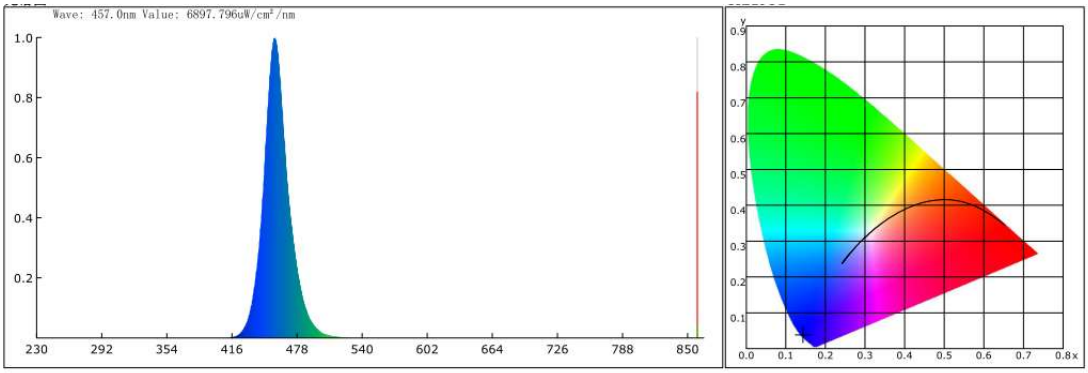


Figure S1a. The spectrum of our lamp (blue LED)

Figure S1b. The visible-light irradiation instrument

2. Experimental procedures

2.1 Preparation of Bismuth Vanadate Semiconductor Materials.

In the synthesis of $BiVO_4$ semiconductor materials, 2 mmol of $Bi(NO_3)_3 \cdot 5H_2O$ were dissolved in 40 mL of 2 M dilute nitric acid solution, stirred until a clear and homogeneous solution A was formed. 2 mmol of NH_4VO_3 were dissolved in 20 mL of 2 M NaOH solution, and added 20 mL of EG, stirred until a clear and homogeneous solution B was formed.

Next, solution A was added dropwise to solution B with constant stirring under ice bath conditions. First, the solution was colorless and transparent, then it turned into light yellow and transparent, and finally formed an orange-yellow opaque colloidal liquid.

Then, the solution was transferred into a Teflon lined stainless steel autoclave and heated for 6 h at the desired temperature (140, 160 and 180 °C). The resulting precipitate formed was centrifuged and then washed several times with ethanol and distilled water. The samples were dried overnight in a Vacuum oven at 60 °C. Finally, heat the dried sample in a muffle furnace for 2 h (400 °C). The samples were denoted as **$BiVO_4$-140**, **$BiVO_4$-160** and **$BiVO_4$-180** corresponding to $BiVO_4$ synthesized at 140, 160 and 180 °C, respectively.

2.2 The corresponding Tauc plot of prepared $BiVO_4$-140/160.

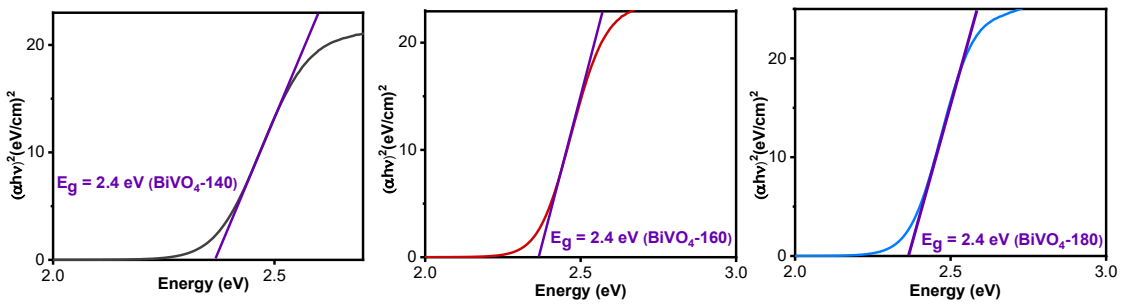
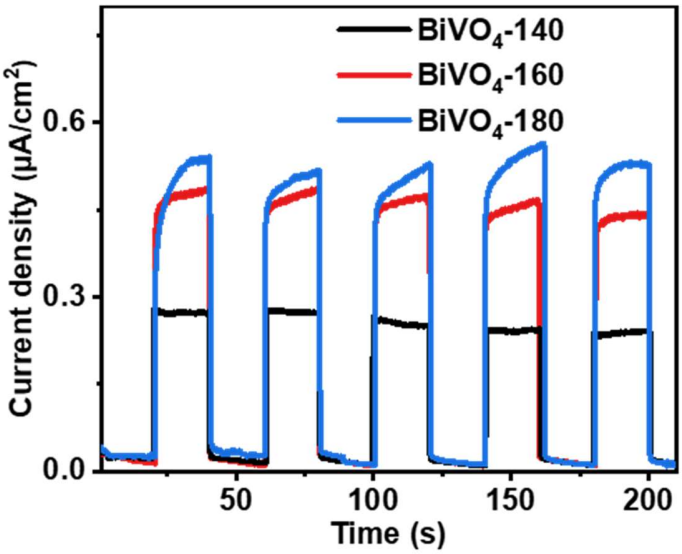


Figure S2. The corresponding Tauc plot of prepared **$BiVO_4$-140**, **$BiVO_4$-160** and **$BiVO_4$-180**.

2.3 Photocurrent test.

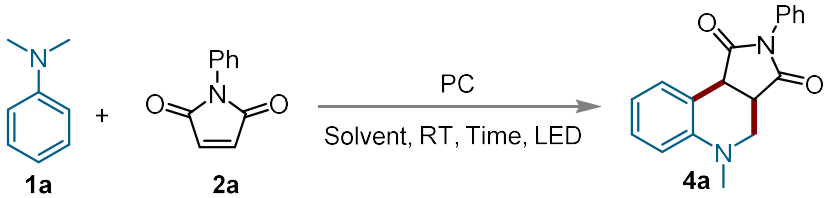
The Pt column, AgCl and the sample-coated ITO sheet were the counter electrode, the reference electrode and the working electrode, respectively. The 0.1 M Tetrabutylammonium hexafluorophosphate (n-Bu_4NPF_6) degassed CH_3CN solution was used as the electrolyte, and the 300 W xenon lamp was used as the light source to test under dark and light conditions.



2.4 Optimization of reaction conditions A.

We started with establishing a suitable reaction condition for accessing **4a** using the model reaction of **1a** with **2a** in the presence of different conditions under irradiation of blue LED at room temperature in open air, as summarized in Table S1. First, we investigated different solvents. A variety of solvents on the model reaction was examined by **$BiVO_4$-180** (5 mol%) as catalyst (entries 1-8). Among them, the target product **4a** was obtained in the highest yield of 83% in 2-CH_3-THF (entry 8). Encouraged by this satisfactory result, the different light sources and the different temperatures of catalysts were further examined (entries 9-12). However, in sharp contrast, much lower yields were observed with them. Next, we investigated the amount of **$BiVO_4$-180** (entries 13-14) and the equivalent ratio of reactants (entry 15), and still did not get a more satisfactory yield. In addition, we also investigated the intensity of the irradiated light (entries 16-17) and the reaction time (entry 18), and the highest yield was 77%. Finally, we separately investigated the reaction effects under the conditions of no catalyst and no light, and the results showed that the reaction was difficult to proceed. After extensive experiments, the optimal conditions A were established as follows: **1a** (0.6 mmol), **2a** (0.2 mmol), **$BiVO_4$-180** (5 mol%), 2-Me-THF (2 mL) at room temperature for 3 h under air atmosphere and the irradiation of blue LED (5 W, 460 nm).

Table S1. Optimization of reaction conditions of **3a.**



1a 2a 4a

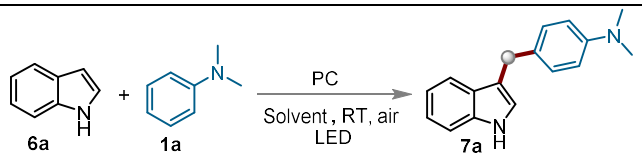
Entry	Solvent	PC (mol%)	LED	Yield (%)
1	H_2O	$BiVO_4$-180 (5)	blue	66
2	DMC	$BiVO_4$-180 (5)	blue	trace
3	PEG-200	$BiVO_4$-180 (5)	blue	N.R.
4	PEG-400	$BiVO_4$-180 (5)	blue	N.R.
5	CH_3CN	$BiVO_4$-180 (5)	blue	trace
6	THF	$BiVO_4$-180 (5)	blue	21
7	DMSO	$BiVO_4$-180 (5)	blue	trace
8	**2-CH_3-THF**	**$BiVO_4$-180 (5)**	**blue**	**83**
9	2-CH_3-THF	$BiVO_4$-180 (5)	white	55
10	2-CH_3-THF	$BiVO_4$-180 (5)	green	trace
11	2-CH_3-THF	$BiVO_4$-140 (5)	blue	65
12	2-CH_3-THF	$BiVO_4$-160 (5)	blue	75
13	2-CH_3-THF	$BiVO_4$-180 (1)	blue	60
14	2-CH_3-THF	$BiVO_4$-180 (3)	blue	70
15	2-CH_3-THF	$BiVO_4$-180 (5)	blue	47[b]
16	2-CH_3-THF	$BiVO_4$-180 (5)	blue	77[c]
17	2-CH_3-THF	$BiVO_4$-180 (5)	blue	73[d]
18	2-CH_3-THF	$BiVO_4$-180 (5)	blue	64[e]
19	2-CH_3-THF	--	blue	trace
20	2-CH_3-THF	$BiVO_4$-180 (5)	In dark	N.R.

[a]Reaction conditions: **1a** (0.6 mmol), **2a** (0.2 mmol), PC (5 mol%), solvent (2 mL) under air for 3 h, RT, LED (5 W). PC = photocatalyst, N.R. = No eaction. Yields were determined by ^{1}H NMR using 1,1,2,2-tetrachloroethane as the internal standard. [b]**1a** (0.4 mmol), **2a** (0.2 mmol). [c]LED (3 W). [d]LED (7 W). [e]Reaction for 2 h.

2.5 Optimization of reaction conditions B.

We started with establishing a suitable reaction condition using the model reaction of **1a** with **6a** in the presence of different solvents and others under irradiation of blue LED at room temperature in open air, as summarized in Table S2. The influence of a variety of solvents on the model reaction was first examined (entries 1-11). Among them, the target product **7a** was obtained in the highest yield of (74%) in a mixed solvent (DMSO/H_2O, v/v: 50/1, 2 mL/0.04 mL) (entry 11). Then we investigated the equivalent ratio of reactants (entries 13-14), and still did not get a more satisfactory yield. Next, the different light sources (entries 15-16) and the reaction time (entry 18) were further examined. When using white light, the yield can reach up to 60%. At the same time, we also investigated the conditions in the dark (entry 17) and without catalyst (entry 19), the reaction can hardly be allowed to happen. After a wide exploration, the optimal conditions B were finally established as follows: **1a** (0.6 mmol), **6a** (0.2 mmol), **$BiVO_4$-180** (5 mol%), DMSO/H_2O (v/v: 50/1, 2 mL/0.04 mL) at room temperature for 3 h under air atmosphere and the irradiation of blue LED (3 W, 460 nm).

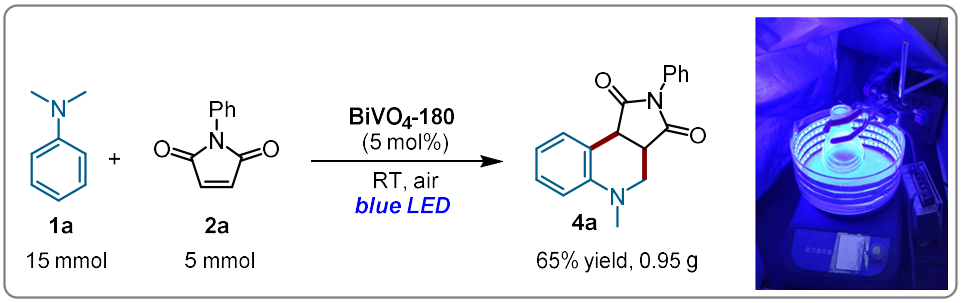
Table S2. Optimization of reaction conditions of **6a**.



Entry	Solvent	PC (mol%)	Yield (%)
1	MeCN	$BiVO_4$-180 (5)	43
2	THF	$BiVO_4$-180 (5)	25
3	DMC	$BiVO_4$-180 (5)	29
4	DMF	$BiVO_4$-180 (5)	N.R.
5	MeOH	$BiVO_4$-180 (5)	50
6	MeCN/H_2O (50:1)	$BiVO_4$-180 (5)	35
7	H_2O	$BiVO_4$-180 (5)	trace
8	DMSO	$BiVO_4$-180 (5)	53
9	DCE	$BiVO_4$-180 (5)	50
10	DMSO/H_2O (100:1)	$BiVO_4$-180 (5)	61
11	**DMSO/H_2O (50:1)**	**$BiVO_4$-180 (5)**	**74**
12	DMSO/H_2O (20:1)	$BiVO_4$-180 (5)	55
13	DMSO/H_2O (50:1)	$BiVO_4$-180 (5)	44[b]
14	DMSO/H_2O (50:1)	$BiVO_4$-180 (5)	60[c]
15	DMSO/H_2O (50:1)	$BiVO_4$-180 (5)	45[d]
16	DMSO/H_2O (50:1)	$BiVO_4$-180 (5)	60[e]
17	DMSO/H_2O (50:1)	$BiVO_4$-180 (5)	0[f]
18	DMSO/H_2O (50:1)	$BiVO_4$-180 (5)	53[g]
19	DMSO/H_2O (50:1)	--	trace

[a]Reaction conditions: **6a** (0.2 mmol), **1a** (0.6 mmol), PC (5 mol%), solvent (2 mL) under air for 3 h, RT, LED (3 W). PC = photocatalyst, N.R. = No Reaction. Yields were determined by ^{1}H NMR using 1,1,2,2-tetrachloroethane as the internal standard. [b]**6a** (0.2 mmol), **1a** (0.4 mmol). [c]**6a** (0.2 mmol), **1a** (0.8 mmol). [d]green LED. [e]white LED. [f]in dark. [g]Reaction for 2 h.

2.6 The gram-scale synthesis



Scheme S2. The gram-scale synthesis of **4a**

The reactor for gram-scale synthesis: gram-scale synthesis of **4a** with blue LEDs light irradiation in air atmosphere: **1a** (15 mmol), **2a** (5 mmol), **$BiVO_4$-180** (81 mg) in 2-CH_3-THF (20 mL) at room temperature for 24 h with the assistance of specially designed reactor. The isolated yield of **4a** (65%, 0.95 g) was given.

2.7 HRMS data analysis

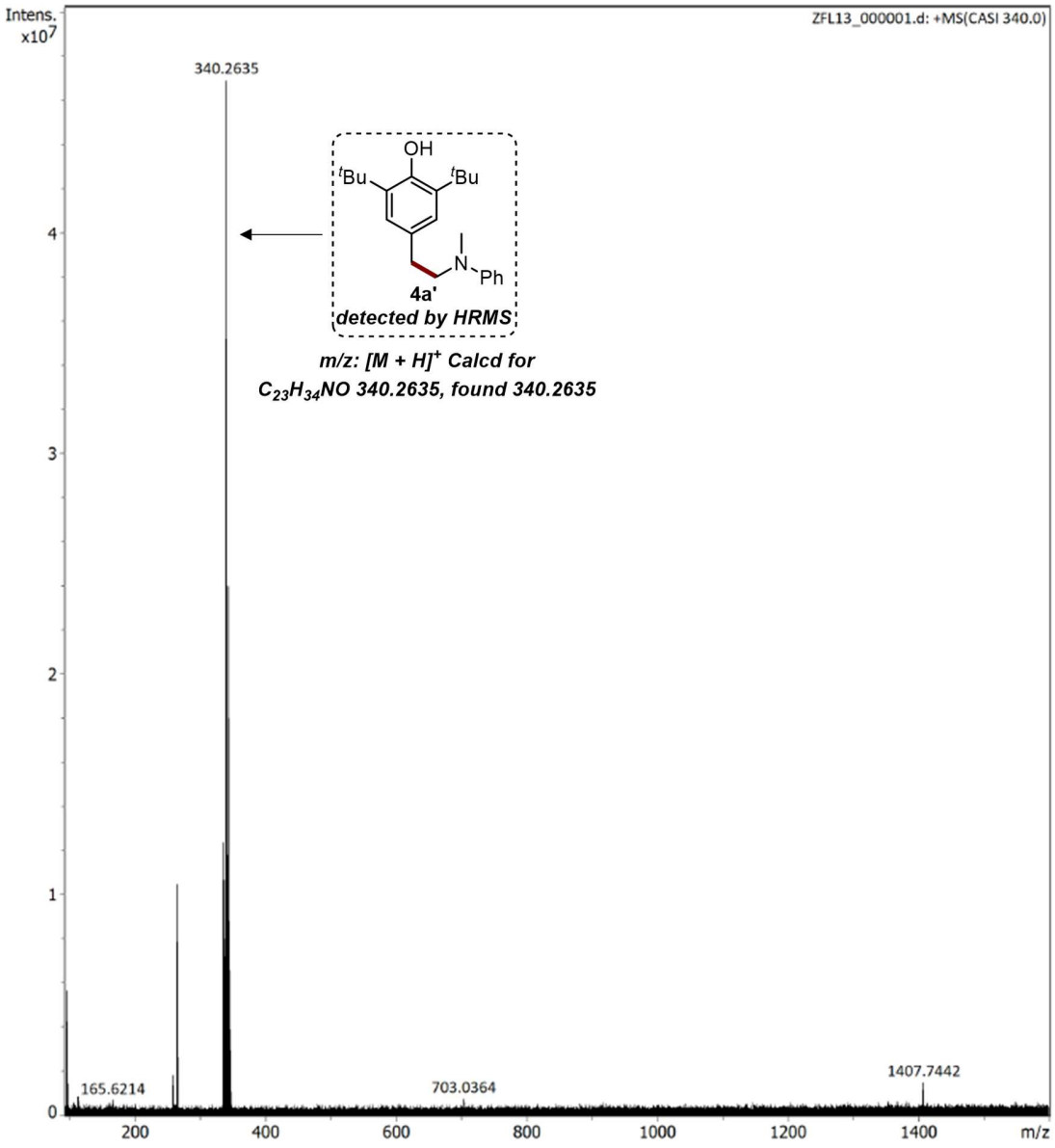
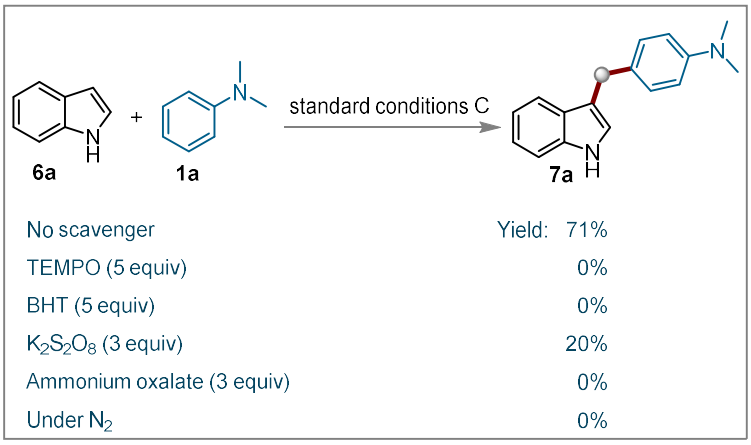
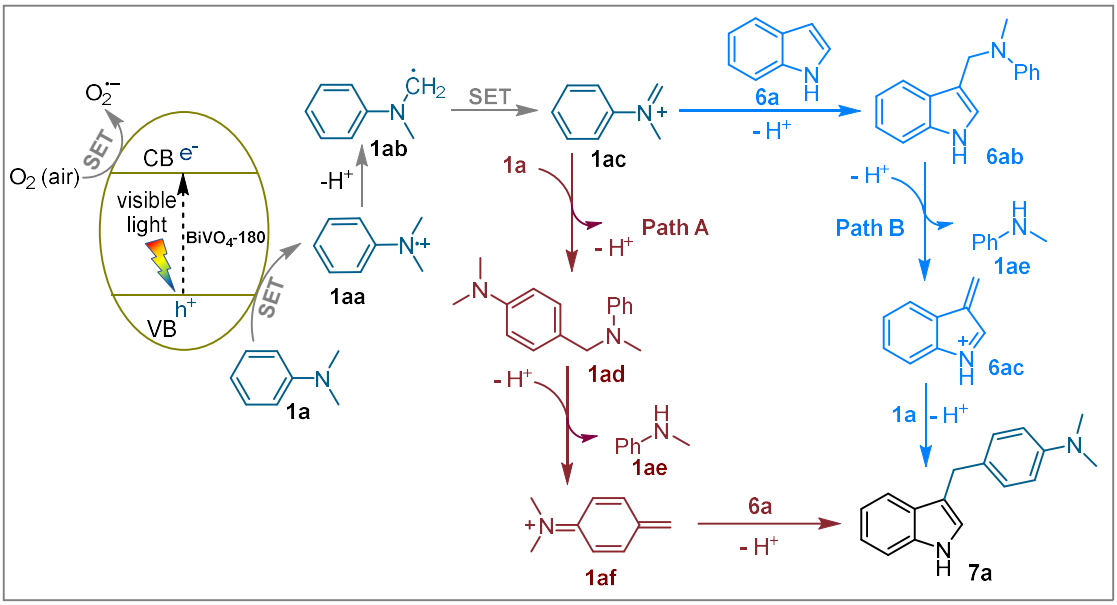


Figure S3. HRMS data analysis of **4a′**

2.8 Control experiments and plausible mechanism about synthesis 7



Scheme S3. Control experiments



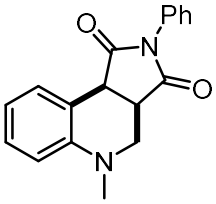
Scheme S4. Plausible mechanism

To further explore the mechanism of synthesis **7**, we conducted some control experiments (Scheme S3). First, the two radical scavengers 2,2,6,6-tetramethylpiperidin-1-yl-oxidanyl (TEMPO) and 2,6-di-tert-butyl-4-methylphenol (BHT) were added to the model reaction under standard conditions C, it was found that both reactions were completely inhibited, indicating that this may be a radical process. In addition, ammonium oxalate and $K_2S_2O_8$ as the scavengers for holes (h^+) and electron scavengers, respectively, were added to the t reaction under standard conditions C. And the reactions were inhibited, showing that the $BiVO_4$ semiconductor participates in the reaction. Compared with the model reaction under standard conditions C, the reaction under the nitrogen atmosphere didn't occur, suggesting that the oxygen in the air may participate in the reactions.

Based on the above control experiments and previous reports,[9] we proposed the tentative mechanism of this transformation (Scheme S4). First, under visible light irradiation, **$BiVO_4$-180** semiconductor was excited to generate holes in the valence band (VB) and electrons in the conduction band (CB). Then, the holes on VB obtain electrons from **1a** by single electron transfer (SET) to form intermediates **1aa**, and **1aa** loosed a proton to form radical **1ab**. Subsequently, **1ab** was further oxidized to a highly reactive imine intermediate **1ac** by SET**.** Next, we proposed two possible paths (A and B). For Path A, the nucleophilic reaction between **1a** and **1ac** produced **1ad,** which could be converted into intermediate **1af**. Then, the addition of indole **6a** to **1af** gave the final product **7a**. For Path B, indole **6a** nucleophilic attacked on **1ac** to generate alkylated indole **6ab**, and the lone pair of electrons on the nitrogen in indole possibly generated azafulvalene intermediate **6ac**. Intermediate **6ac** accepted **1a** produces target product **7a**.

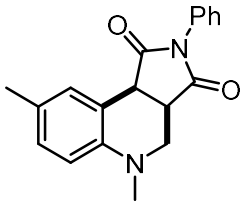
3. Characterization Data for Products

5-methyl-2-phenyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4a)[1]



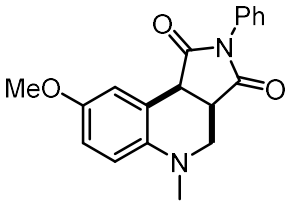
White solid (34 mg, 80% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.52 (d, *J* = 7.0 Hz, 1H), 7.45 – 7.39 (m, 2H), 7.38 – 7.32 (m, 1H), 7.28 – 7.20 (m, 3H), 6.92 – 6.88 (m, 1H), 6.74 (dd, *J* = 8.3, 1.1 Hz, 1H), 4.15 (d, *J* = 9.6 Hz, 1H), 3.60 (dd, *J* = 11.5, 2.7 Hz, 1H), 3.55 – 3.50 (m, 1H), 3.11 (dd, *J* = 11.4, 4.4 Hz, 1H), 2.83 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.7, 175.8, 148.5, 132.0, 130.4, 129.0, 128.7, 128.5, 126.4, 119.7, 118.6, 112.6, 50.7, 43.6, 42.2, 39.5.

5,8-dimethyl-2-phenyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4b)[1]



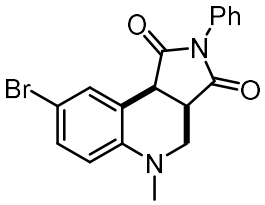
White solid (34 mg, 56% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.44 – 7.26 (m, 2H), 7.38 – 7.32 (m, 2H), 7.29 – 7.25 (m, 2H), 7.04 (dd, *J* = 8.3, 1.8 Hz, 1H), 6.65 (d, *J* = 8.3 Hz, 1H), 4.12 (d, *J* = 9.6 Hz, 1H), 3.63 – 3.57 (m, 1H), 3.54 – 3.49 (m, 1H), 3.05 (dd, *J* = 11.4, 4.4 Hz, 1H), 2.80 (s, 3H), 2.30 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.8, 175.9, 146.4, 132.0, 130.8, 129.3, 129.0, 128.5, 126.4, 118.5, 112.5, 51.0, 43.6, 42.2, 39.6, 20.5.

8-methoxy-5-methyl-2-phenyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4c)[1]



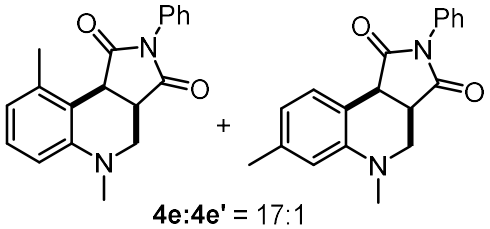
Pale yellow solid (32 mg, 50% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.43 (t, *J* = 7.5 Hz, 2H), 7.36 (t, *J* = 7.3 Hz, 1H), 7.27 (d, *J* = 7.7 Hz, 2H), 7.13 (d, *J* = 2.7 Hz, 1H), 6.81 (dd, *J* = 8.8, 2.8 Hz, 1H), 6.68 (d, *J* = 8.9 Hz, 1H), 4.12 (d, *J* = 9.5 Hz, 1H), 3.79 (s, 3H), 3.61 – 3.47 (m, 2H), 3.04 (dd, *J* = 11.2, 4.2 Hz, 1H), 2.79 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.7, 175.6, 153.2, 142.8, 132.0, 129.0, 128.5, 126.4, 119.7, 115.7, 114.4, 113.5, 55.7, 51.3, 43.6, 42.5, 39.8.

8-bromo-5-methyl-2-phenyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4d)[2]



White solid (48 mg, 65% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.63 (d, *J* = 2.0 Hz, 1H), 7.43 (t, *J* = 7.5 Hz, 2H), 7.36 (t, *J* = 7.4 Hz, 1H), 7.31 (dd, *J* = 8.7, 2.3 Hz, 1H), 7.28 – 7.24 (m, 2H), 6.60 (d, *J* = 8.8 Hz, 1H), 4.09 (d, *J* = 9.6 Hz, 1H), 3.59 (dd, *J* = 11.5, 2.8 Hz, 1H), 3.54 – 3.50 (m, 1H), 3.09 (dd, *J* = 11.5, 4.4 Hz, 1H), 2.81 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.3, 175.1, 147.5, 132.7, 131.8, 131.5, 129.1, 128.7, 126.3, 120.4, 114.3, 111.7, 50.4, 43.3, 41.8, 39.5.

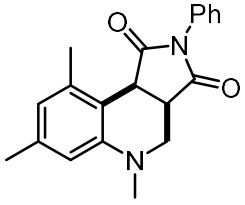
5,7-dimethyl-2-phenyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4e) and 5,9-dimethyl-2-phenyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4e')[1]



4e:4e' = 17:1

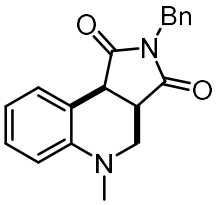
White solid (54 mg, 88% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.42 (t, *J* = 7.6 Hz, 2.26H), 7.37 (s, 0.17H), 7.35 – 7.23 (m, 3H), 7.12 (t, *J* = 7.9 Hz, 1H), 6.81 (d, *J* = 7.5 Hz, 1H), 6.71 (s, 0.06H), 6.62 (d, *J* = 8.1 Hz, 1H), 6.55 (s, 0.06H), 4.51 (d, *J* = 9.8 Hz, 1H), 4.11 (d, *J* = 10.1 Hz, 0.06H), 3.57 (d, *J* = 11.4 Hz, 1.06H), 3.51 (dd, *J* = 9.3, 4.2 Hz, 1.06H), 3.09 (dd, *J* = 11.3, 4.3 Hz, 0.06H), 2.94 (dd, *J* = 11.3, 4.8 Hz, 1H), 2.82 (s, 0.17H), 2.78 (s, 3H), 2.58 (s, 3H), 2.32 (s, 0.17H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 178.6, 177.8, 176.0, 175.7, 150.1, 148.4, 138.6, 132.1, 130.2, 129.0, 128.1, 128.0, 126.5, 126.4, 122.7, 120.5, 119.5, 115.6, 113.3, 110.7, 52.6, 50.7, 44.8, 43.5, 41.9, 39.9, 39.5, 21.7, 20.4.

5,7,9-trimethyl-2-phenyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4f)[1]



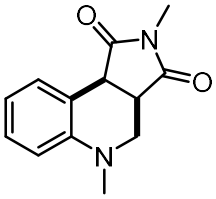
Pale yellow solid (62 mg, 97% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.44 – 7.40 (m, 2H), 7.38 – 7.32 (m, 1H), 7.30 – 7.24 (m, 2H), 6.65 (s, 1H), 6.45 (s, 1H), 4.47 (d, *J* = 9.8 Hz, 1H), 3.56 (dd, *J* = 11.3, 1.5 Hz, 1H), 3.52 – 3.48 (m, 1H), 2.93 (dd, *J* = 11.3, 4.8 Hz, 1H), 2.77 (s, 3H), 2.55 (s, 3H), 2.29 (s, 3H).^{13}C NMR (101 MHz, Chloroform-*d*) δ 178.6, 175.9, 150.0, 138.3, 137.8, 132.1, 129.0, 128.5, 126.5, 123.6, 116.5, 111.5, 52.6, 44.7, 39.9, 39.3, 21.6, 20.3.

2-benzyl-5-methyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4g)[1]



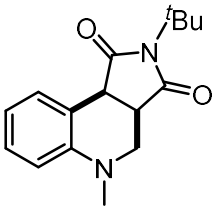
White solid (43 mg, 71% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.46 (d, *J* = 7.3 Hz, 1H), 7.33 – 7.17 (m, 6H), 6.91 – 6.87 (m, 1H), 6.71 (d, *J* = 8.1 Hz, 1H), 4.65 (q, *J* = 14.3 Hz, 2H), 3.99 (d, *J* = 9.4 Hz, 1H), 3.49 (dd, *J* = 11.5, 2.7 Hz, 1H), 3.38 – 3.34 (m, 1H), 3.04 (dd, *J* = 11.5, 4.5 Hz, 1H), 2.80 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 178.4, 176.4, 148.5, 135.6, 130.2, 128.61, 128.59, 128.4, 127.8, 119.7, 118.8, 112.5, 50.8, 43.7, 42.8, 42.1, 39.3.

2,5-dimethyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4h)[1]



White solid (30 mg, 65% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.48 (d, *J* = 7.3 Hz, 1H), 7.24 – 7.19 (m, 1H), 6.91 – 6.87 (m, 1H), 6.70 (d, *J* = 8.1 Hz, 1H), 4.00 (d, *J* = 9.4 Hz, 1H), 3.54 (dd, *J* = 11.5, 2.4 Hz, 1H), 3.39 – 3.35 (m, 1H), 3.04 (dd, *J* = 11.5, 4.5 Hz, 1H), 2.99 (s, 3H), 2.79 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 178.8, 176.8, 148.4, 130.2, 128.6, 119.6, 118.7, 112.5, 50.5, 43.6, 42.0, 39.4, 25.4.

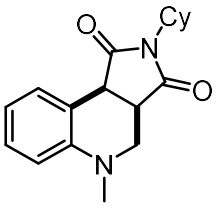
2-(tert-butyl)-5-methyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4i)[1]



Reddish brown oil (33 mg, 51% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.44 (d, *J* = 7.4 Hz, 1H), 7.20 (t, *J* = 7.8 Hz, 1H), 6.87 (t, *J* = 7.2 Hz, 1H), 6.70 (d, *J* = 8.2 Hz, 1H), 3.83 (d, *J* = 9.7 Hz, 1H), 3.50 – 3.36 (m, 1H), 3.29 – 3.15 (m, 1H), 3.00 (dd, *J* = 11.4, 4.5 Hz, 1H), 2.80 (s, 3H),

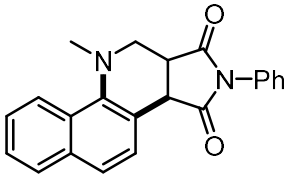
1.54 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 179.6, 177.9, 148.4, 130.3, 128.4, 119.3, 119.2, 112.3, 58.7, 50.9, 43.2, 42.1, 39.4, 28.4.

2-cyclohexyl-5-methyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4j)[1]



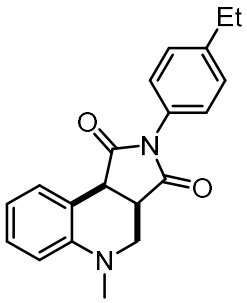
Brown oil (21 mg, 35% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.46 (d, *J* = 7.3 Hz, 1H), 7.25 – 7.14 (m, 1H), 6.90 – 6.86 (m, 1H), 6.69 (d, *J* = 8.1 Hz, 1H), 4.01 – 3.81 (m, 2H), 3.45 (dd, *J* = 11.4, 2.9 Hz, 1H), 3.30 – 3.26 (m, 1H), 3.02 (dd, *J* = 11.4, 4.6 Hz, 1H), 2.79 (s, 3H), 2.23 – 1.95 (m, 2H), 1.78 (d, *J* = 12.9 Hz, 2H), 1.66 – 1.50 (m, 3H), 1.32 – 1.18 (m, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 178.7, 176.9, 148.4, 130.2, 128.4, 119.5, 119.0, 112.4, 52.2, 50.8, 43.1, 41.7, 39.4, 28.9, 28.8, 25.82, 25.77, 25.1.

10-methyl-2-phenyl-3a,10,11,11a-tetrahydro-1H-benzo[h]pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4k)[1]



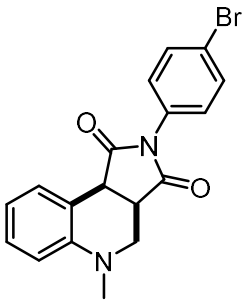
Yellow solid (34 mg, 50% yield); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.18 (d, *J* = 8.1 Hz, 1H), 7.85 – 7.80 (m, 2H), 7.64 (d, *J* = 8.5 Hz, 1H), 7.56 – 7.43 (m, 4H), 7.38 (t, *J* = 7.4 Hz, 1H), 7.27 (dd, *J* = 9.2, 1.7 Hz, 2H), 4.30 (d, *J* = 8.5 Hz, 1H), 3.72 – 3.60 (m, 2H), 3.53 (dd, *J* = 12.6, 6.2 Hz, 1H), 2.99 (s, 3H).^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.6, 175.5, 144.5, 133.9, 131.9, 129.2, 128.7, 128.5, 128.4, 127.6, 126.30, 126.28, 126.0, 124.3, 123.6, 119.4, 51.1, 43.9, 41.7, 38.3.

2-(4-ethylphenyl)-5-methyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4l)[1]



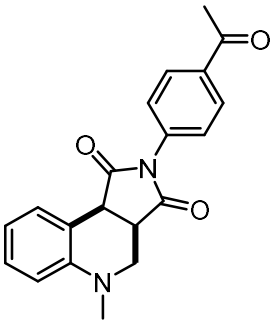
White solid (45 mg, 70% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.52 (d, *J* = 7.4 Hz, 1H), 7.26 – 7.19 (m, 3H), 7.18 – 7.13 (m, 2H), 6.92 – 6.88 (m, 1H), 6.73 (d, *J* = 8.1 Hz, 1H), 4.13 (d, *J* = 9.6 Hz, 1H), 3.59 (dd, *J* = 11.4, 2.8 Hz, 1H), 3.53 – 3.49 (m, 1H), 3.10 (dd, *J* = 11.4, 4.4 Hz, 1H), 2.83 (s, 3H), 2.65 (q, *J* = 7.6 Hz, 2H), 1.21 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.9, 175.9, 148.5, 144.8, 130.4, 129.5, 128.7, 128.5, 126.2, 119.6, 118.6, 112.5, 50.7, 43.6, 42.1, 39.5, 28.6, 15.4.

2-(4-bromophenyl)-5-methyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4m)[3]



White solid (54 mg, 73% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.53 (m, 3H), 7.26 – 7.21 (m, 1H), 7.19 – 7.15 (m, 2H), 6.92 – 6.88 (m, 1H), 6.74 (d, *J* = 8.2 Hz, 1H), 4.14 (d, *J* = 9.6 Hz, 1H), 3.60 (dd, *J* = 11.5, 2.6 Hz, 1H), 3.54 – 3.50 (m, 1H), 3.10 (dd, *J* = 11.5, 4.4 Hz, 1H), 2.82 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.4, 175.5, 148.5, 132.2, 131.0, 130.3, 128.8, 127.9, 122.3, 119.8, 118.4, 112.6, 50.6, 43.6, 42.2, 39.5.

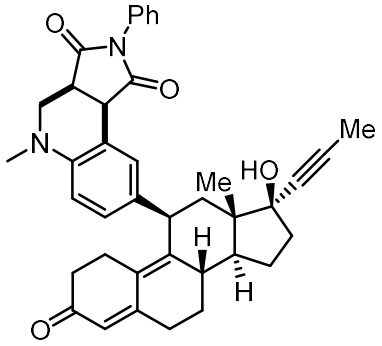
2-(4-acetylphenyl)-5-methyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4n)[1]



Pale yellow solid (45 mg, 68% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.05 – 7.95 (m, 2H), 7.52 (d, *J* = 7.3 Hz, 1H), 7.46 – 7.41 (m, 2H), 7.28 – 7.21 (m, 1H), 6.94 – 6.90 (m, 1H), 6.75 (d, *J* = 8.1 Hz, 1H), 4.19 (d, *J* = 9.6 Hz, 1H), 3.62 (dd, *J* = 11.5, 2.6 Hz, 1H), 3.58 – 3.54 (m, 1H), 3.13 (dd, *J* = 11.5, 4.3 Hz, 1H), 2.84 (s, 3H), 2.60 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 197.0, 177.3, 175.4, 148.5, 136.6, 136.0, 130.3, 129.0, 128.9, 126.3, 119.8, 118.3, 112.7, 50.6, 43.7, 42.2, 39.5, 26.7.

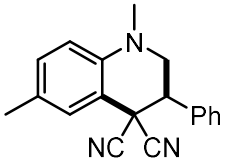
8-((8S,11R,13S,14S,17S)-17-hydroxy-13-methyl-3-oxo-17-(prop-1-yn-1-yl)-

2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[a]phenanthren-11-yl)-5-methyl-2-phenyl-3a,4,5,9b-tetrahydro-1H-pyrrolo[3,4-c]quinoline-1,3(2H)-dione (4o)[1]



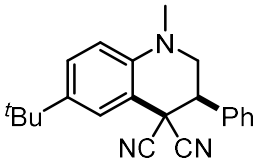
Pale yellow oil, dr = 1:1 (a nonseparable mixture of two diastereomers), (66 mg, 55% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.46 – 7.29 (m, 4H), 7.26 – 7.17 (m, 2H), 7.05 – 6.99 (m, 1H), 6.67 – 6.63 (m, 1H), 5.76 (s, 1H), 4.51 – 4.29 (m, 1H), 4.10 (dd, *J* = 9.6, 6.9 Hz, 1H), 3.69 – 3.42 (m, 2H), 3.13 – 3.09 (m, 1H), 2.82 (s, 1.5H), 2.81 (s, 1.5H), 2.79 – 2.69 (m, 1H), 2.67 – 2.56 (m, 2H), 2.55 – 2.30 (m, 6H), 2.30 – 2.14 (m, 2H), 2.08 – 1.97 (m, 2H), 1.89 (s, 1.5H), 1.88 (s, 1.5H), 1.78 – 1.68 (m, 2H), 1.52 – 1.41 (m, 1H), 1.38 – 1.28 (m, 1H), 0.54 (s, 1.5H), 0.52 (s, 1.5H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 199.7, 199.6, 177.64, 177.59, 175.9, 175.5, 157.1, 156.8, 146.5, 146.3, 146.1, 145.9, 135.0, 133.0, 132.0, 129.6, 129.3, 129.09, 129.05, 129.0, 128.8, 128.6, 128.5, 127.2, 126.9, 126.4, 126.3, 122.9, 122.8, 118.6, 118.2, 112.6, 112.5, 82.5, 82.4, 82.3, 80.2, 80.1, 50.7, 50.5, 49.9, 49.8, 47.0, 46.9, 43.37, 43.36, 42.3, 42.2, 39.7, 39.6, 39.4, 39.2, 39.1, 39.0, 38.9, 38.7, 38.6, 36.9, 31.2, 31.1, 27.4, 25.92, 25.86, 23.4, 23.3, 14.0, 13.7, 3.9, 3.8.

1,6-dimethyl-3-phenyl-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile (5a)[14]



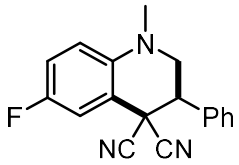
White solid (40 mg, 70% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.50 – 7.42 (m, 5H), 7.33 (d, *J* = 1.4 Hz, 1H), 7.14 (dd, *J* = 8.5, 1.7 Hz, 1H), 6.67 (d, *J* = 8.5 Hz, 1H), 3.90 (t, *J* = 12 Hz, 1H), 3.61 (dd, *J* = 11.4, 3.7 Hz, 1H), 3.48 (dd, *J* = 12.3, 3.7 Hz, 1H), 2.99 (s, 3H), 2.29 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 142.0, 134.9, 132.5, 129.4, 129.2, 128.5, 127.3, 115.4, 114.2, 112.8, 112.7, 51.5, 45.8, 42.4, 38.9, 20.1.

6-(tert-butyl)-1-methyl-3-phenyl-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile (5b)[16]



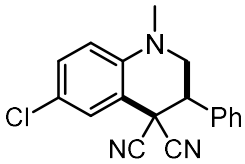
White solid (30 mg, 45% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.61 – 7.42 (m, 6H), 7.37 (dd, *J* = 8.7, 2.3 Hz, 1H), 6.71 (d, *J* = 8.8 Hz, 1H), 3.92 (t, *J* = 11.9 Hz, 1H), 3.61 (dd, *J* = 11.5, 3.7 Hz, 1H), 3.47 (dd, *J* = 12.3, 3.7 Hz, 1H), 3.00 (s, 3H), 1.32 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 141.9, 140.9, 134.9, 129.4, 129.2, 128.9, 128.5, 125.5, 115.3, 114.3, 112.6, 112.3, 51.5, 45.9, 42.7, 38.9, 34.1, 31.4.

6-fluoro-1-methyl-3-phenyl-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile (5c)[15]



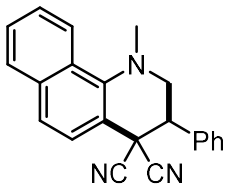
White solid (26 mg, 45% yield); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.45 (s, 5H), 7.28 (dd, *J* = 8.4, 2.9 Hz, 1H), 7.13 – 7.05 (m, 1H), 6.69 (dd, *J* = 9.2, 4.5 Hz, 1H), 3.92 (t, *J* = 12 Hz, 1H), 3.60 (dd, *J* = 11.3, 3.9 Hz, 1H), 3.51 (dd, *J* = 12.3, 3.9 Hz, 1H), 3.00 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 154.9 (d, *J* = 238.9 Hz), 134.5, 129.5, 129.3, 128.4, 119.0, 118.8, 115.32(d, *J* = 24.6 Hz), 114.8, 113.8 (d, *J* = 7.1 Hz), 113.6, 113.3 (d, *J* = 7.0 Hz), 51.5, 45.7, 42.3, 39.1. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -126.3.

6-chloro-1-methyl-3-phenyl-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile (5d)[15]



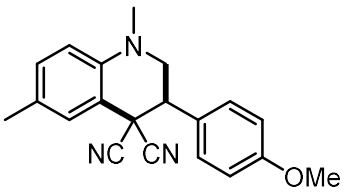
White solid (43 mg, 70% yield); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.51 (d, *J* = 2.4 Hz, 1H), 7.46 (s, 5H), 7.29 (dd, *J* = 8.9, 2.4 Hz, 1H), 6.67 (d, *J* = 9.0 Hz, 1H), 3.96 (dd, *J* = 11.9, 11.1 Hz, 1H), 3.67 – 3.49 (m, 2H), 3.02 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 142.6, 134.3, 131.8, 129.6, 129.3, 128.4, 128.3, 122.2, 114.6, 113.8, 113.7, 113.6, 51.2, 45.4, 42.1, 38.9.

1-methyl-3-phenyl-2,3-dihydrobenzo[h]quinoline-4,4(1H)-dicarbonitrile (5e)



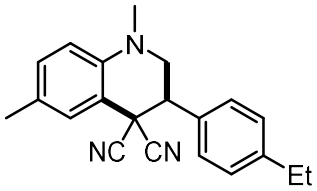
White solid (36 mg, 56% yield), mp 170 – 171 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.18 – 8.15 (m, 1H), 7.86 – 7.83 (m, 1H), 7.67 – 7.43 (m, 9H), 3.95 (dd, *J* = 13.6, 12.3 Hz, 1H), 3.74 (dd, *J* = 12.0, 2.5 Hz, 1H), 3.57 (dd, *J* = 13.8, 2.6 Hz, 1H), 3.16 (s, 3H).^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.5, 135.4, 135.2, 129.4, 129.2, 128.68, 128.65, 127.9, 127.6, 126.4, 124.9, 124.7, 124.2, 116.0, 115.0, 114.1, 52.3, 45.1, 43.2, 40.6. HRMS (ESI-TOF) *m/z*: $[M + H]^{+}$ Calcd for $C_{22}H_{18}N_3$, 324.1495, Found: 324.1495.

3-(4-methoxyphenyl)-1,6-dimethyl-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile (5f)[15]



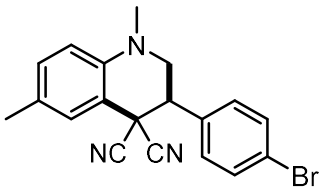
White solid (43 mg, 67% yield); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.38 (d, *J* = 8.7 Hz, 2H), 7.31 (s, 1H), 7.15 – 7.10 (m, 1H), 6.96 (d, *J* = 8.7 Hz, 2H), 6.65 (d, *J* = 8.5 Hz, 1H), 4.09 – 3.79 (m, 4H), 3.56 (dd, *J* = 11.3, 3.7 Hz, 1H), 3.44 (dd, *J* = 12.3, 3.7 Hz, 1H), 2.98 (s, 3H), 2.28 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 160.3, 142.0, 132.4, 129.6, 129.1, 127.2, 126.9, 115.5, 114.5, 114.4, 112.8, 112.7, 55.3, 51.7, 45.2, 42.8, 38.9, 20.1.

3-(4-ethylphenyl)-1,6-dimethyl-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile (5g)



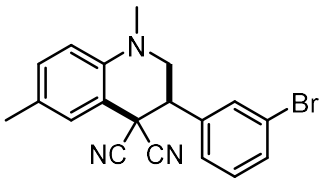
White solid (40 mg, 64% yield), mp 158 – 160 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.37 (d, *J* = 8.2 Hz,2H), 7.32 (d, *J* = 1.4 Hz, 1H), 7.27 (d, *J* = 8.2 Hz, 2H), 7.14 (dd, *J* = 8.5, 1.6 Hz, 1H), 6.66 (d, *J* = 8.5 Hz, 1H), 3.88 (t, *J* = 12 Hz, 1H), 3.58 (dd, *J* = 11.4, 3.7 Hz, 1H), 3.45 (dd, *J* = 12.3, 3.7 Hz, 1H), 2.98 (s, 3H), 2.69 (q, *J* = 7.6 Hz, 2H), 2.29 (s, 3H), 1.26 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 145.5, 142.0, 132.4, 132.1, 129.1, 128.6, 128.4, 127.2, 115.5, 114.3, 112.79, 112.76, 51.6, 45.5, 42.6, 38.9, 28.6, 20.1, 15.3. HRMS (ESI-TOF) *m/z*: $[M + H]^{+}$ Calcd for $C_{21}H_{22}N_3$, 316.1808, Found: 316.1808.

3-(4-bromophenyl)-1,6-dimethyl-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile(5h)[14]



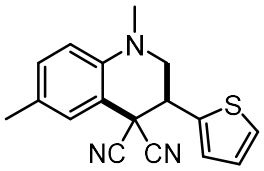
White solid (52 mg, 71% yield), mp 128 – 129 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.57 (d, *J* = 8.5 Hz, 2H), 7.32 (d, *J* = 8.7 Hz, 3H), 7.19 – 7.11 (m, 1H), 6.67 (d, *J* = 8.5 Hz, 1H), 3.83 (t, 1H), 3.58 (dd, *J* = 11.1, 3.6 Hz, 1H), 3.46 (dd, *J* = 12.3, 3.7 Hz, 1H), 2.98 (s, 3H), 2.29 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 141.9, 133.9, 132.6, 132.4, 130.1, 129.1, 127.5, 123.7, 115.3, 114.0, 112.9, 112.3, 51.3, 45.3, 42.2, 39.0, 20.2.

3-(3-bromophenyl)-1,6-dimethyl-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile(5i)



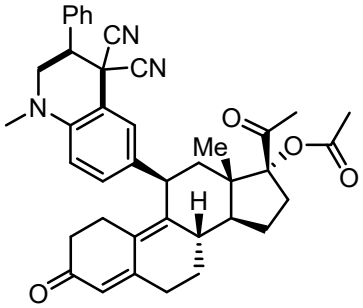
White solid (37 mg, 51% yield), mp 133 – 135 °C. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.62 – 7.54 (m, 2H), 7.46 – 7.29 (m, 3H), 7.15 (dd, *J* = 8.5, 1.5 Hz, 1H), 6.67 (d, *J* = 8.5 Hz, 1H), 3.84 (dd, *J* = 12.1, 11.3 Hz, 1H), 3.57 (dd, *J* = 11.2, 3.6 Hz, 1H), 3.47 (dd, *J* = 12.2, 3.7 Hz, 1H), 2.99 (s, 3H), 2.30 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 141.9, 137.1, 132.61, 132.57, 131.5, 130.7, 129.1, 127.6, 127.2, 123.2, 115.1, 113.9, 112.9, 112.3, 51.4, 45.4, 42.1, 39.0, 20.2. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{19}H_{17}BrN_3$, 366.0600, Found: 366.0601.

1,6-dimethyl-3-(thiophen-2-yl)-2,3-dihydroquinoline-4,4(1H)-dicarbonitrile (5j)



White solid (50 mg, 85% yield), mp 155 – 157 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.34 (dd, *J* = 5.1, 1.2 Hz, 1H), 7.29 (d, 1H), 7.25 (d, *J* = 2.5 Hz, 1H), 7.14 (dd, *J* = 8.5, 1.6 Hz, 1H), 7.07 (dd, *J* = 5.1, 3.6 Hz, 1H), 6.65 (d, *J* = 8.5 Hz, 1H), 4.00 (dd, *J* = 9.0, 4.2 Hz, 1H), 3.81 – 3.53 (m, 2H), 2.99 (s, 3H), 2.28 (s, 3H).^{13}C NMR (101 MHz, Chloroform-*d*) δ 141.7, 136.1, 132.6, 129.3, 127.7, 127.5, 127.1, 126.6, 115.5, 114.2, 112.7, 111.4, 52.3, 42.6, 41.7, 38.8, 20.2. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{17}H_{16}N_3S$, 294.1059, Found: 294.1060.

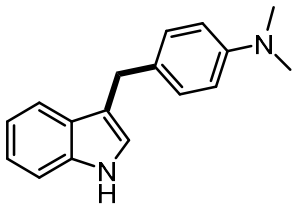
(8S,11R,13S,14S,17R)-17-acetyl-11-((S)-4,4-dicyano-1-methyl-3-phenyl-1,2,3,4-tetrahydroquinolin-6-yl)-13-methyl-3-oxo-2,3,6,7,8,11,12,13,14,15,16,17-dodecahydro-1H-cyclopenta[a]phenanthren-17-yl acetate (5k)



White solid, dr = 1:1 (a nonseparable mixture of two diastereomers), mp 166 – 168 °C, (56 mg, 45% yield); ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.45 (d, *J* = 4.6 Hz, 5H), 7.36 (d, *J* = 2.2 Hz, 0.5H), 7.26 (d, *J* = 2.7 Hz, 0.5H), 7.17 – 7.08 (m, 1H), 6.68 (t, *J* = 8.3 Hz, 1H), 5.82 (s, 1H), 4.43 (d, *J* = 7.1 Hz, 1H), 3.98 – 3.90 (m, 1H), 3.65 – 3.59 (m, 1H), 3.55 – 3.50 (m, 1H), 3.02 (s, 3H), 2.98 – 2.90 (m, 1H), 2.85 – 2.78 (m, 1H), 2.70 – 2.60 (m, 3H), 2.55 – 2.34 (m, 4H), 2.26 – 2.18

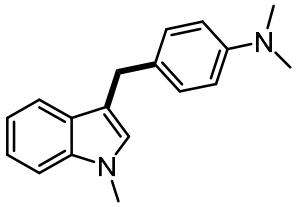
(m, 1H), 2.15 (d, J = 0.9 Hz, 3H), 2.11 (s, 3H), 2.09 – 1.94 (m, 1H), 1.85 – 1.77 (m, 2H), 1.56 – 1.48 (m, 1H), 1.40 (dd, J = 10.8, 5.6 Hz, 1H), 1.35 (s, 0.5H), 1.30 (s, 0.5H), 0.36 (d, J = 1.7 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 203.63, 203.55, 199.3, 199.23, 199.19, 199.1, 170.6, 170.5, 156.14, 156.06, 156.0, 144.0, 143.9, 143.81, 143.78, 142.20, 142.16, 134.62, 134.59, 133.0, 130.12, 130.07, 130.0, 129.9, 129.5, 129.2, 128.4, 127.3, 126.8, 123.5, 123.4, 115.3, 115.2, 114.1, 113.9, 113.03, 113.00, 112.9, 112.8, 96.3, 96.1, 51.34, 51.27, 50.9, 46.9, 46.8, 45.4, 45.27, 45.25, 42.69, 42.68, 42.5, 39.1, 38.70, 38.68, 38.66, 38.3, 38.2, 36.9, 36.82, 36.75, 31.4, 31.0, 30.3, 30.2, 27.9, 26.8, 26.5, 25.7, 24.23, 24.16, 21.28, 21.25, 15.9, 15.8. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{40}H_{42}N_3O_4$, 628.3170, Found: 628.3169.

4-((1H-indol-3-yl)methyl)-N,N-dimethylaniline (7a)[9]



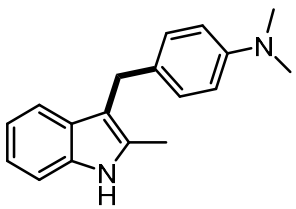
Pale yellow solid (36 mg, 71% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.88 (s, 1H), 7.54 (d, J = 7.9 Hz, 1H), 7.32 (d, J = 8.1 Hz, 1H), 7.16 (d, J = 8.5 Hz, 3H), 7.06 (t, J = 7.5 Hz, 1H), 6.87 (s, 1H), 6.69 (d, J = 8.7 Hz, 2H), 4.02 (s, 2H), 2.90 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.1, 136.5, 129.5, 129.3, 127.6, 122.2, 121.9, 119.3, 116.8, 113.1, 111.0, 41.0, 30.5.

N,N-dimethyl-4-((1-methyl-1H-indol-3-yl)methyl)aniline (7b)[9]



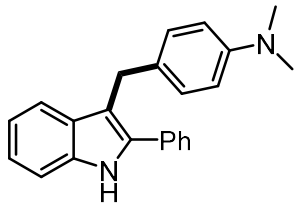
Colorless oil (36 mg, 68% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.54 (d, J = 7.9 Hz, 1H), 7.29 – 7.23 (m, 1H), 7.18 (dd, J = 18.8, 7.9 Hz, 3H), 7.06 (t, J = 7.9 Hz, 1H), 6.75 – 6.65 (m, 3H), 4.01 (s, 2H), 3.71 (s, 3H), 2.90 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.1, 137.2, 129.7, 129.3, 127.9, 127.0, 121.4, 119.3, 118.6, 115.3, 113.0, 109.1, 41.0, 32.6, 30.5.

N,N-dimethyl-4-((2-methyl-1H-indol-3-yl)methyl)aniline (7c)[9]



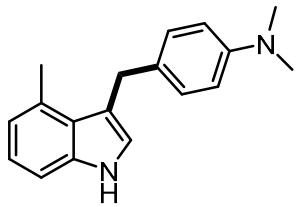
Brown oil (40 mg, 76% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.70 (s, 1H), 7.40 (d, *J* = 7.8 Hz, 1H), 7.30 – 7.20 (m, 1H), 7.11 – 7.05 (m, 3H), 7.03 – 6.96 (m, 1H), 6.64 (d, *J* = 8.7 Hz, 2H), 3.97 (s, 2H), 2.86 (s, 6H), 2.35 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.0, 135.3, 131.4, 130.0, 129.0, 128.8, 120.9, 119.1, 118.5, 113.1, 111.3, 110.1, 41.0, 29.0, 11.8.

N,N-dimethyl-4-((2-phenyl-1H-indol-3-yl)methyl)aniline (7d)[9]



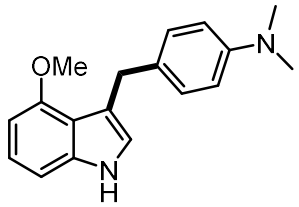
Yellow oil (42 mg, 65% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.08 (s, 1H), 7.53 (d, *J* = 7.1 Hz, 2H), 7.45 (d, *J* = 8.0 Hz, 1H), 7.42 – 7.38 (m, 2H), 7.37 – 7.31 (m, 2H), 7.21 – 7.15 (m, 1H), 7.10 (d, *J* = 8.7 Hz, 2H), 7.05 (t, *J* = 7.5 Hz, 1H), 6.66 (d, *J* = 8.7 Hz, 1H), 4.18 (s, 2H), 2.88 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.0, 136.0, 135.2, 133.1, 129.7, 128.8, 127.8, 127.6, 122.3, 119.8, 113.1, 112.0, 110.7, 40.9, 29.4.

N,N-dimethyl-4-((4-methyl-1H-indol-3-yl)methyl)aniline (7e)[9]



Reddish brown solid (32 mg, 60% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.89 (s, 1H), 7.17 (d, *J* = 8.1 Hz, 1H), 7.07 (dd, *J* = 11.9, 8.0 Hz, 3H), 6.80 (d, *J* = 7.1 Hz, 1H), 6.75 (s, 1H), 6.69 (d, *J* = 8.7 Hz, 2H), 4.20 (s, 2H), 2.90 (s, 6H), 2.59 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.1, 137.0, 131.3, 130.2, 129.2, 126.2, 123.0, 122.0, 120.8, 117.1, 113.0, 108.9, 41.0, 32.4, 20.3.

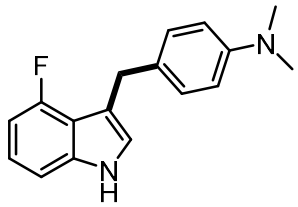
4-((4-methoxy-1H-indol-3-yl)methyl)-N,N-dimethylaniline (7f)



Reddish brown oil, (31 mg, 65% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82 (s, 1H), 7.18 (d, *J* = 8.6 Hz, 2H), 7.05 (t, *J* = 8.0 Hz, 1H), 6.90 (d, *J* = 8.1 Hz, 1H), 6.70 (d, *J* = 8.7 Hz, 2H), 6.58 (s, 1H), 6.46 (d, *J* = 7.7 Hz, 1H), 4.18 (s, 2H), 3.87 (s, 3H), 2.90 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 155.1, 148.9, 138.1, 130.9, 129.6, 122.7, 120.9, 117.7, 117.5, 113.1, 104.4,

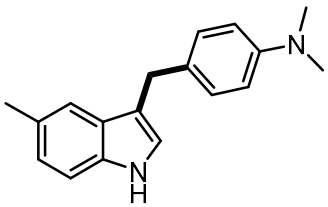
99.5, 55.1, 41.1, 32.1. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{18}H_{21}N_2O$, 281.1648, Found: 281.1648.

4-((4-fluoro-1H-indol-3-yl)methyl)-N,N-dimethylaniline (7g)



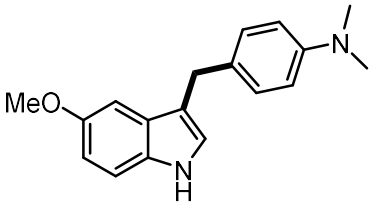
White solid (55 mg, 70% yield), mp 109 - 111 °C, ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.97 (s, 1H), 7.18 (d, *J* = 8.6 Hz, 2H), 7.12 – 7.02 (m, 2H), 6.76 (s, 1H), 6.71 (d, *J* = 8.6 Hz, 3H), 4.12 (s, 2H), 2.91 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 157.5 (d, *J* = 247.0 Hz), 149.1, 129.8, 129.4, 122.4 (d, *J* = 7.7 Hz), 122.1, 116.4, 116.2, 116.0 (d, *J* = 3.5 Hz), 113.0, 107.1 (d, *J* = 3.7 Hz), 104.5 (d, *J* = 19.5 Hz), 41.0, 31.6 (d, *J* = 2.1 Hz). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -123.1. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{17}H_{18}FN_2$, 269.1449, Found: 269.1449.

N,N-dimethyl-4-((5-methyl-1H-indol-3-yl)methyl)aniline (7h)[9]



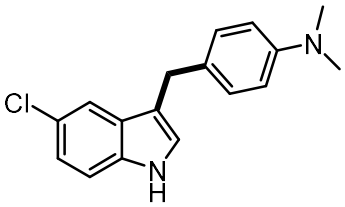
White solid (37 mg, 70% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.79 (s, 1H), 7.33 (s, 1H), 7.26 – 7.19 (m, 1H), 7.15 (d, *J* = 8.6 Hz, 2H), 6.99 (d, *J* = 8.3 Hz, 1H), 6.83 (s, 1H), 6.69 (d, *J* = 8.6 Hz, 2H), 3.99 (s, 2H), 2.90 (s, 6H), 2.42 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.1, 134.8, 129.6, 129.3, 128.4, 127.8, 123.5, 122.4, 118.8, 116.2, 113.1, 110.7, 41.0, 30.4, 21.6.

4-((5-methoxy-1H-indol-3-yl)methyl)-N,N-dimethylaniline (7i)[9]



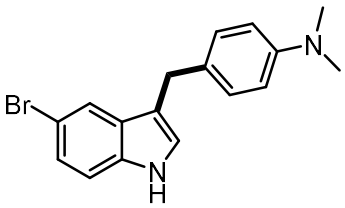
White solid (39 mg, 70% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.78 (s, 1H), 7.38 (d, *J* = 8.6 Hz, 1H), 7.15 (d, *J* = 8.6 Hz, 2H), 6.83 (d, *J* = 2.1 Hz, 1H), 6.78 (s, 1H), 6.74 (dd, *J* = 8.6, 2.2 Hz, 1H), 6.69 (d, *J* = 8.6 Hz, 2H), 3.98 (s, 2H), 3.83 (s, 3H), 2.90 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 153.9, 149.1, 131.6, 129.4, 129.3, 128.0, 123.0, 116.5, 113.1, 112.0, 111.7, 101.1, 55.9, 41.0, 30.5.

4-((5-chloro-1H-indol-3-yl)methyl)-N,N-dimethylaniline (7j)[10]



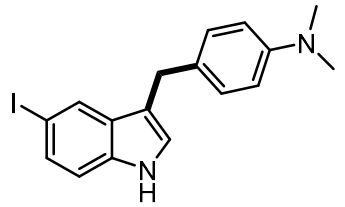
White solid (21.6 mg, 38% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.94 (s, 1H), 7.50 (d, *J* = 1.9 Hz, 1H), 7.24 (d, *J* = 9.6 Hz, 1H), 7.12 (t, *J* = 8.0 Hz, 3H), 6.91 (d, *J* = 2.1 Hz, 1H), 6.69 (d, *J* = 8.7 Hz, 2H), 3.96 (s, 2H), 2.91 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.2, 134.8, 129.2, 128.9, 128.7, 125.0, 123.5, 122.2, 118.7, 116.6, 113.0, 112.0, 40.9, 30.4.

4-((5-bromo-1H-indol-3-yl)methyl)-N,N-dimethylaniline (7k)[9]



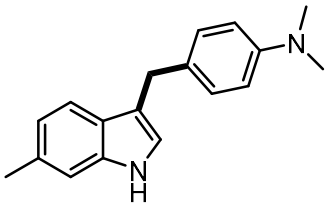
White solid (33 mg, 51% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (s, 1H), 7.66 (d, *J* = 1.8 Hz, 1H), 7.23 (dd, *J* = 7.9, 2.5 Hz, 1H), 7.17 (d, *J* = 8.5 Hz, 1H), 7.12 (d, *J* = 8.7 Hz, 2H), 6.86 (d, *J* = 2.2 Hz, 1H), 6.69 (d, *J* = 8.7 Hz, 2H), 3.95 (s, 2H), 2.90 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.2, 135.1, 129.3, 129.2, 128.9, 124.8, 123.4, 121.8, 116.5, 113.1, 112.6, 112.5, 40.9, 30.3.

4-((5-iodo-1H-indol-3-yl)methyl)-N,N-dimethylaniline (7l)[9]



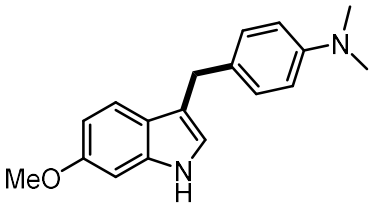
White solid (40.6 mg, 54% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (s, 1H), 7.87 (s, 1H), 7.40 (dd, *J* = 8.5, 1.6 Hz, 1H), 7.10 (dd, *J* = 13.3, 8.6 Hz, 3H), 6.81 (d, *J* = 2.1 Hz, 1H), 6.69 (d, *J* = 8.7 Hz, 2H), 3.95 (s, 2H), 2.90 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.2, 135.5, 130.23, 130.17, 129.2, 128.9, 128.1, 123.0, 116.3, 113.1, 113.0, 82.8, 41.0, 30.3.

N,N-dimethyl-4-((6-methyl-1H-indol-3-yl)methyl)aniline (7m)[9]



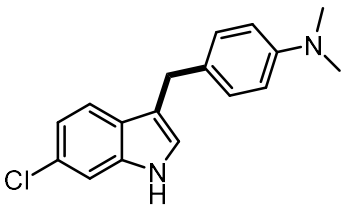
Pale yellow solid (40 mg, 76% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.76 (s, 1H), 7.40 (d, *J* = 8.1 Hz, 1H), 7.19 – 7.08 (m, 3H), 6.90 (d, *J* = 8.0 Hz, 1H), 6.80 (d, *J* = 2.1 Hz, 1H), 6.68 (d, *J* = 8.7 Hz, 2H), 3.99 (s, 2H), 2.89 (s, 6H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.1, 136.9, 131.7, 129.6, 129.3, 125.5, 121.5, 121.0, 118.9, 116.6, 113.1, 111.0, 41.0, 30.6, 21.7.

4-((6-methoxy-1H-indol-3-yl)methyl)-N,N-dimethylaniline (7n)[9]



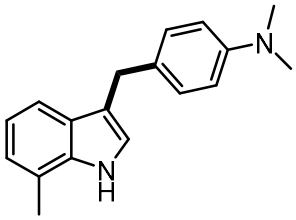
Pale yellow solid (42 mg, 75% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 (s, 1H), 7.26 – 7.19 (m, 1H), 7.16 (d, *J* = 8.6 Hz, 2H), 6.98 (d, *J* = 2.4 Hz, 1H), 6.83 (dd, *J* = 8.9, 2.4 Hz, 2H), 6.70 (d, *J* = 8.7 Hz, 2H), 3.98 (s, 2H), 3.81 (s, 3H), 2.90 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 156.5, 149.1, 137.2, 129.5, 129.2, 122.1, 120.9, 119.9, 116.7, 113.0, 109.1, 94.6, 55.7, 41.0, 30.6.

4-((6-chloro-1H-indol-3-yl)methyl)-N,N-dimethylaniline (7o)



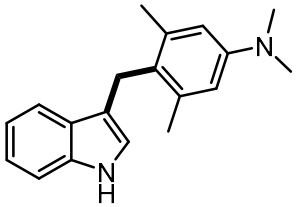
White solid (30 mg, 53% yield), mp 170 - 172 °C; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 (s, 1H), 7.40 (d, *J* = 8.4 Hz, 1H), 7.29 (d, *J* = 1.6 Hz, 1H), 7.12 (d, *J* = 8.6 Hz, 2H), 7.02 (dd, *J* = 8.4, 1.8 Hz, 1H), 6.86 (s, 1H), 6.69 (d, *J* = 8.6 Hz, 2H), 3.98 (s, 2H), 2.90 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.2, 136.8, 129.2, 129.0, 127.9, 126.2, 122.8, 120.2, 120.0, 116.9, 113.0, 110.9, 40.9, 30.4. HRMS (ESI-TOF) *m/z*: [M + H]$^{+}$ Calcd for $C_{17}H_{18}ClN_2$, 285.1153, Found: 285.1153.

N,N-dimethyl-4-((7-methyl-1H-indol-3-yl)methyl)aniline (7p)[9]



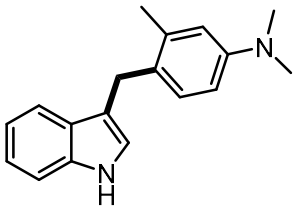
White solid (45 mg, 85% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.76 (s, 1H), 7.39 (d, *J* = 6.9 Hz, 1H), 7.15 (d, *J* = 8.7 Hz, 2H), 6.98 (q, *J* = 8.7, 7.9 Hz, 2H), 6.84 (d, *J* = 2.3 Hz, 1H), 6.71 – 6.64 (m, 2H), 4.01 (s, 2H), 2.88 (s, 6H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.1, 136.1, 129.6, 129.3, 127.1, 122.5, 122.0, 120.2, 119.5, 117.3, 117.0, 113.1, 41.0, 30.7, 16.6.

4-((1H-indol-3-yl)methyl)-N,N,3,5-tetramethylaniline (7q)[11]



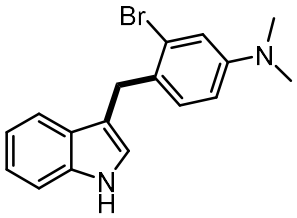
White solid (39 mg, 70% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 (s, 1H), 7.68 (d, *J* = 7.7 Hz, 1H), 7.33 (d, *J* = 7.9 Hz, 1H), 7.23 – 7.10 (m, 2H), 6.51 (s, 2H), 6.42 (d, *J* = 2.0 Hz, 1H), 3.98 (s, 2H), 2.93 (s, 6H), 2.25 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.0, 137.6, 136.6, 127.6, 126.1, 121.9, 121.7, 119.1, 118.8, 115.8, 112.9, 111.0, 41.0, 24.6, 20.5.

4-((1H-indol-3-yl)methyl)-N,N,3-trimethylaniline (7r)[11]



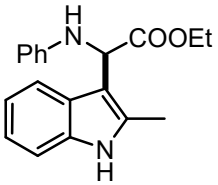
White solid (39 mg, 73% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.85 (s, 1H), 7.58 (d, *J* = 7.9 Hz, 1H), 7.31 (d, *J* = 8.1 Hz, 1H), 7.20 – 7.14 (m, 1H), 7.07 (dd, *J* = 21.3, 8.1 Hz, 2H), 6.67 (s, 1H), 6.62 (d, *J* = 2.6 Hz, 1H), 6.54 (dd, *J* = 8.3, 2.7 Hz, 1H), 3.98 (s, 2H), 2.90 (s, 6H), 2.28 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 149.4, 137.0, 136.5, 130.2, 127.7, 127.6, 122.3, 121.9, 119.2, 119.1, 116.1, 115.1, 111.1, 110.7, 41.0, 28.3, 20.1.

4-((1H-indol-3-yl)methyl)-3-bromo-N,N-dimethylaniline (7s)[11]



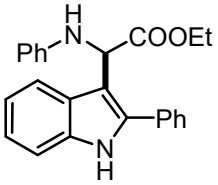
Reddish oil (33 mg, 50% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (s, 1H), 7.57 (d, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 1H), 7.21 – 7.15 (m, 1H), 7.13 – 7.06 (m, 1H), 7.03 (d, *J* = 8.6 Hz, 1H), 6.93 (d, *J* = 2.6 Hz, 1H), 6.90 (d, *J* = 2.2 Hz, 1H), 6.56 (dd, *J* = 8.6, 2.7 Hz, 1H), 4.11 (s, 2H), 2.89 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 150.0, 136.4, 130.7, 127.8, 127.5, 125.3, 122.6, 122.0, 119.3, 119.2, 116.3, 115.3, 112.0, 111.0, 41.6, 30.7.

Ethyl 2-(2-methyl-1H-indol-3-yl)-2-(phenylamino)acetate (10a)[4]



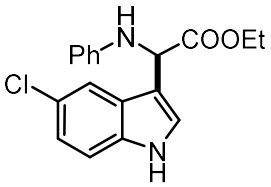
Yellow oil (40 mg, 65% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.87 (s, 1H), 7.78 (dd, *J* = 6.1, 3.1 Hz, 1H), 7.25 – 7.16 (m, 1H), 7.16 – 7.00 (m, 4H), 6.69 (t, *J* = 7.3 Hz, 1H), 6.60 (d, *J* = 7.5 Hz, 2H), 5.27 (d, *J* = 5.5 Hz, 1H), 4.77 (d, *J* = 5.6 Hz, 1H), 4.27 – 4.19 (m, 1H), 4.10 – 4.12 (m, 1H), 2.43 (s, 3H), 1.16 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.4, 146.7, 135.1, 133.3, 129.2, 126.9, 121.5, 119.9, 118.9, 117.9, 113.2, 110.5, 107.6, 61.5, 54.0, 14.2, 12.2.

Ethyl 2-(2-phenyl-1H-indol-3-yl)-2-(phenylamino)acetate (10b)[5]



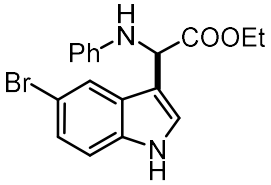
Yellow oil (53mg, 72% yield) ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (s, 1H), 7.92 (d, *J* = 7.9 Hz, 1H), 7.73 – 7.66 (m, 2H), 7.58 – 7.47 (m, 2H), 7.50 – 7.39 (m, 1H), 7.34 (d, *J* = 7.8 Hz, 1H), 7.31 – 7.05 (m, 2H), 7.02 (dd, *J* = 8.6, 7.3 Hz, 2H), 6.64 – 6.62 (m, 1H), 6.44 – 6.38 (m, 2H), 5.43 (s, 1H), 4.84 (s, 1H), 4.31 – 4.23 (m, 1H), 4.17 – 4.09 (m, 1H), 1.20 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.6, 146.4, 137.4, 135.9, 132.1, 129.1, 128.9, 128.9, 128.7, 126.7, 122.7, 120.4, 120.3, 117.9, 113.2, 111.1, 108.6, 61.7, 53.9, 14.2.

Ethyl 2-(5-chloro-1H-indol-3-yl)-2-(phenylamino)acetate (10c)[6]



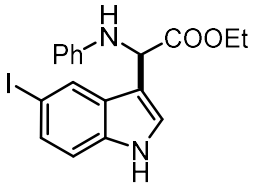
Yellow oil (34 mg, 52% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.19 (s, 1H), 7.81 (d, *J* = 1.9 Hz, 1H), 7.22 (d, *J* = 8.5 Hz, 1H), 7.19 – 7.07 (m, 4H), 6.73 (t, *J* = 7.3 Hz, 1H), 6.62 (d, *J* = 8.0 Hz, 2H), 5.32 (d, *J* = 6.0 Hz, 1H), 4.77 (d, *J* = 6.2 Hz, 1H), 4.30 – 4.22 (m, 1H), 4.18 – 4.08 (m, 1H), 1.22 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.3, 146.4, 134.9, 129.3, 126.8, 125.8, 124.5, 122.9, 119.2, 118.3, 113.5, 112.5, 61.9, 54.2, 14.1.

Ethyl 2-(5-bromo-1H-indol-3-yl)-2-(phenylamino)acetate (10d)[6]



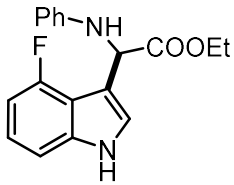
Yellow oil (61 mg, 82% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.20 (s, 1H), 7.97 (d, *J* = 1.8 Hz, 1H), 7.28 (dd, *J* = 8.6, 1.8 Hz, 1H), 7.17 – 7.12 (m, 4H), 6.73 (t, *J* = 7.3 Hz, 1H), 6.61 (d, *J* = 7.7 Hz, 2H), 5.31 (d, *J* = 5.8 Hz, 1H), 4.76 (d, *J* = 5.8 Hz, 1H), 4.27 – 4.19 (m, 1H), 4.17 – 4.08 (m, 1H), 1.22 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.3, 146.3, 135.2, 129.3, 127.5, 125.5, 124.4, 122.3, 118.3, 113.5, 113.4, 112.9, 112.3, 61.9, 54.2, 14.1.

Ethyl 2-(5-iodo-1H-indol-3-yl)-2-(phenylamino)acetate (10e)



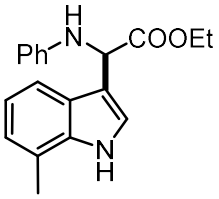
Red oil (38 mg, 45% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.18 (s, 2H), 7.45 (dd, *J* = 8.6, 1.5 Hz, 1H), 7.20 – 7.05 (m, 4H), 6.73 (t, *J* = 7.3 Hz, 1H), 6.61 (d, *J* = 7.7 Hz, 2H), 5.31 (d, *J* = 5.8 Hz, 1H), 4.76 (d, *J* = 5.8 Hz, 1H), 4.31 – 4.22 (m, 1H), 4.17 – 4.11 (m, 1H), 1.24 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.3, 146.3, 135.7, 130.9, 129.3, 128.6, 128.3, 123.9, 118.3, 113.5, 113.4, 112.1, 83.6, 61.9, 54.1, 14.2. HRMS (ESI-TOF) *m/z*: $[M + Na]^+$ Calcd for $C_{18}H_{17}IN_2NaO_2$, 443.0227, Found: 443.0227.

Ethyl 2-(4-fluoro-1H-indol-3-yl)-2-(phenylamino)acetate (10f)



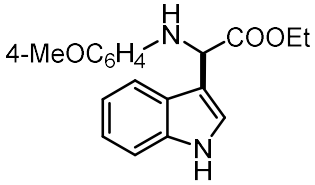
Yellow oil (34 mg, 55% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.25 (s, 1H), 7.19 – 7.00 (m, 5H), 6.88 – 6.76 (m, 1H), 6.70 (m, 3H), 5.58 (d, *J* = 6.3 Hz, 1H), 4.87 (d, *J* = 6.4 Hz, 1H), 4.31 – 4.18 (m, 1H), 4.16 – 4.08 (m, 1H), 1.19 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.7, 156.8 (d, *J* = 246.9 Hz), 146.5, 139.0 (d, *J* = 11.4 Hz), 129.2, 123.2, 123.0 (d, *J* = 7.9 Hz), 118.2, 115.0 (d, *J* = 20.1 Hz), 113.7, 112.0 (d, *J* = 3.9 Hz), 107.5 (d, *J* = 3.9 Hz), 105.4 (d, *J* = 19.7 Hz), 61.6, 54.1, 14.0. ^{19}F NMR (376 MHz, Chloroform-*d*) δ -122.2. HRMS (ESI-TOF) *m/z*: $[M + H]^+$ Calcd for $C_{18}H_{18}FN_2O_2$, 313.1347, Found: 313.1347.

Ethyl 2-(7-methyl-1H-indol-3-yl)-2-(phenylamino)acetate (10g)



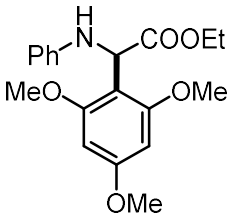
Yellow oil (41 mg, 66% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.06 (s, 1H), 7.67 (s, 1H), 7.23 (d, *J* = 1.9 Hz, 1H), 7.16 – 7.08 (m, 3H), 7.03 (d, *J* = 7.1 Hz, 1H), 6.73 – 6.71 (m, 1H), 6.66 – 6.59 (m, 2H), 5.38 (d, *J* = 5.7 Hz, 1H), 4.76 (d, *J* = 5.2 Hz, 1H), 4.30 – 4.22 (m, 1H), 4.16 – 4.07 (m, 1H), 2.47 (s, 3H), 1.22 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.6, 146.6, 136.1, 129.2, 125.4, 123.1, 122.8, 120.6, 120.3, 118.0, 117.3, 113.4, 113.2, 61.6, 54.4, 16.6, 14.2. HRMS (ESI-TOF) *m/z*: $[M + Na]^+$ Calcd for $C_{19}H_{20}N_2NaO_2$, 331.1417, Found: 331.1417.

Ethyl 2-(1H-indol-3-yl)-2-((4-methoxyphenyl)amino)acetate (10h)[7]



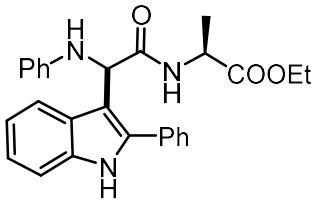
Yellow oil (60 mg, 92% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.25 (s, 1H), 7.81 (d, *J* = 7.8 Hz, 1H), 7.31 (s, 1H), 7.22 – 7.13 (m, 3H), 6.77 – 6.71 (m, 2H), 6.62 (d, *J* = 2.2 Hz, 2H), 5.32 (s, 1H), 4.47 (s, 1H), 4.27 – 4.19 (m, 1H), 4.15 – 4.07 (m, 1H), 3.71 (s, 3H), 1.19 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.9, 152.6, 140.9, 136.5, 125.9, 123.1, 122.5, 120.0, 119.5, 114.92, 114.89 112.7, 111.5, 61.5, 55.8, 55.3, 14.2.

Ethyl 2-(phenylamino)-2-(2,4,6-trimethoxyphenyl)acetate (10i)[8]



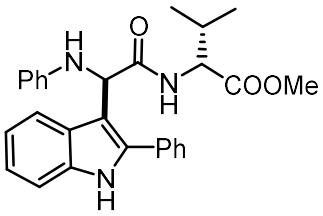
White solid (35 mg, 50% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.16 – 7.05 (m, 2H), 6.76 – 6.69 (m, 2H), 6.68 – 6.63 (m, 1H), 6.11 (s, 2H), 5.67 (s, 1H), 4.87 (s, 1H), 4.24 – 4.08 (m, 2H), 3.83 (s, 6H), 3.78 (s, 3H), 1.17 (t, *J* = 7.1 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 173.0, 160.9, 158.8, 147.4, 129.0, 117.6, 113.8, 108.7, 91.0, 61.1, 55.9, 55.3, 51.0, 14.2.

Ethyl (2-(2-phenyl-1H-indol-3-yl)-2-(phenylamino)acetyl)-L-alaninate (10j)



Yellow oil (40 mg, 45% yield), dr = 1.2:1, ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.47 (s, 1H), 7.95 – 7.69 (m, 4H), 7.42 – 7.30 (m, 4H), 7.23 – 7.12 (m, 4H), 6.80 – 6.76 (m, 1H), 6.65 – 6.57 (m, 2H), 5.14 (d, *J* = 4.0 Hz, 1H), 4.73 – 4.55 (m, 1H), 4.49 (d, *J* = 22.3 Hz, 1H), 4.22 – 4.05 (m, 2H), 1.42 (d, *J* = 7.2 Hz, 1.65H), 1.37 (d, *J* = 7.1 Hz, 1.38H), 1.23 (t, *J* = 7.1 Hz, 1.41H), 1.16 (t, *J* = 7.1 Hz, 1.66H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.7, 172.4, 171.7, 171.3, 147.1, 137.79, 137.76, 136.12, 136.09, 131.73, 131.70, 129.30, 129.26, 129.0, 128.9, 128.58, 128.56, 126.2, 126.1, 122.7, 122.6, 120.4, 120.3, 120.1, 119.7, 119.3, 119.1, 114.1, 113.8, 111.5, 111.3, 109.3, 109.2, 61.5, 61.4, 57.4, 57.2, 48.3, 48.1, 18.5, 18.3, 14.1, 14.0. HRMS (ESI-TOF) *m/z*: [M + Na]$^{+}$ Calcd for $C_{27}H_{27}N_3NaO_3$, 464.1945, Found: 464.1939.

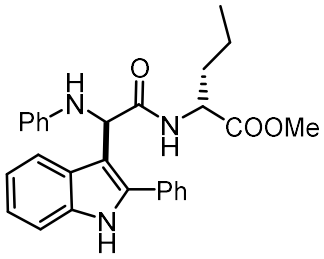
Methyl (2-(2-phenyl-1H-indol-3-yl)-2-(phenylamino)acetyl)-L-valinate (10k)



White solid (44 mg, 48% yield), dr = 2:1, mp 105 - 107 °C, ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.32 (d, *J* = 8.4 Hz, 1H), 7.96 – 7.71 (m, 4H), 7.46 – 7.35 (m, 4H), 7.24 – 7.14 (m, 4H), 6.80 – 6.76 (m, 1H), 6.64 – 6.61 (m, 2H), 5.18 (d, *J* = 10.3 Hz, 1H), 4.65 – 4.55 (m, 2H), 3.69 (s, 2H), 3.60 (s, 1H), 2.24 – 2.11 (m, 1H), 0.94 – 0.85 (m, 4H), 0.74 (d, *J* = 6.9 Hz, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.5, 172.1, 171.7, 171.6, 147.1, 146.8, 137.8, 137.7, 136.12, 136.06, 131.8, 131.7, 129.2, 129.14, 129.05, 129.01, 128.97, 128.95, 128.63, 128.61, 128.5, 128.4, 126.1,

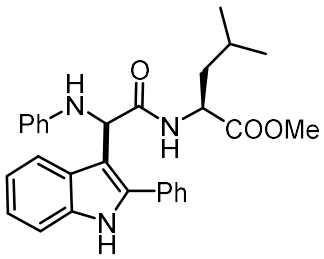
126.0, 122.7, 120.4, 120.3, 120.2, 120.0, 119.3, 119.2, 114.3, 113.9, 111.4, 111.2, 109.41, 109.35, 57.7, 57.1, 57.02, 56.98, 52.10, 52.07, 52.0, 51.9, 31.6, 31.1, 19.1, 18.0, 17.5. HRMS (ESI-TOF) *m/z*: $[M + Na]^+$ Calcd for $C_{28}H_{29}N_3NaO_3$, 478.2101, Found: 478.2105.

Methyl (2R)-2-(2-(2-phenyl-1H-indol-3-yl)-2-(phenylamino)acetamido)pentanoate (10l)



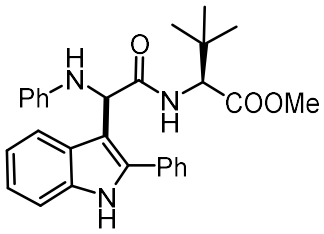
White solid (46 mg, 50% yield), dr = 1:0.6, mp 79 - 81 ℃, ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (d, *J* = 8.2 Hz, 1H), 7.91 – 7.68 (m, 4H), 7.38 – 7.28 (m, 4H), 7.21 – 7.10 (m, 4H), 6.82 – 6.76 (m, 1H), 6.66 – 6.55 (m, 2H), 5.16 (dd, *J* = 6.5, 2.1 Hz, 1H), 4.69 – 4.65 (m, 0.37H), 4.63 – 4.59 (m, 0.62H), 4.51 (d, *J* = 2.2 Hz, 0.32H), 4.47 (d, *J* = 2.2 Hz, 0.61H), 3.66 (s, 1.13H), 3.61 (s, 1.85H), 1.87 – 1.73 (m, 1H), 1.72 – 1.60 (m, 1H), 1.33 (q, *J* = 7.6 Hz, 1.29H), 1.22 – 1.12 (m, 0.77H), 0.87 – 0.79 (m, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.7, 172.4, 172.3, 171.6, 147.1, 146.9, 137.82, 137.80, 136.2, 136.1, 131.73, 131.70, 129.3, 129.2, 129.0, 128.9, 128.54, 128.52, 126.08, 126.06, 122.64, 122.62, 120.3, 120.2, 120.1, 119.7, 119.3, 119.2, 114.3, 113.9, 111.5, 111.3, 109.2, 57.6, 57.1, 52.31, 52.27, 52.2, 51.9, 34.6, 34.3, 18.9, 18.4, 13.62, 13.56. HRMS (ESI-TOF) *m/z*: $[M + Na]^+$ Calcd for $C_{28}H_{29}N_3NaO_3$, 478.2101, Found: 478.2104.

Methyl (2-(2-phenyl-1H-indol-3-yl)-2-(phenylamino)acetyl)-L-leucinate (10m)



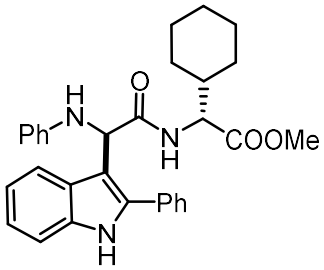
White solid (43 mg, 46% yield), dr = 1:0.8, mp 96 - 98 ℃, ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.29 (d, *J* = 8.7 Hz, 1H), 7.93 – 7.61 (m, 4H), 7.46 – 7.34 (m, 4H), 7.24 – 7.11 (m, 4H), 6.78 (q, *J* = 6.8 Hz, 1H), 6.62 (dd, *J* = 21.9, 8.0 Hz, 2H), 5.17 (d, *J* = 5.9 Hz, 1H), 4.76 – 4.63 (m, 1H), 4.49 (d, *J* = 21.9 Hz, 1H), 3.66 (s, 1.53H), 3.63 (s, 1.23H), 1.70 – 1.48 (m, 3H), 0.90 (t, *J* = 5.9 Hz, 2.65H), 0.83 (dd, *J* = 14.5, 5.8 Hz, 3.33H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 173.1, 172.7, 172.4, 171.7, 147.1, 146.9, 137.8, 136.2, 136.1, 131.73, 131.68, 129.3, 129.2, 129.0, 128.93, 128.91, 128.54, 128.52, 126.08, 126.06, 122.7, 122.6, 120.3, 120.2, 120.1, 119.7, 119.3, 119.2, 114.3, 113.9, 111.5, 111.3, 109.20, 109.16, 57.6, 57.0, 52.2, 52.1, 51.0, 50.6, 41.7, 41.3, 24.9, 24.7, 22.9, 22.8, 21.7, 21.6. HRMS (ESI-TOF) *m/z*: $[M + Na]^+$ Calcd for $C_{29}H_{31}N_3NaO_3$, 492.2258, Found: 492.2255.

Methyl (2S)-3,3-dimethyl-2-(2-(2-phenyl-1H-indol-3-yl)-2-(phenylamino)acetamido)butanoate (10n)



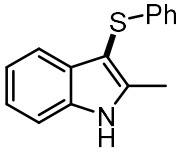
White solid (40 mg, 43% yield), dr = 2:1, mp 118 - 119 ℃, ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.33 (d, *J* = 10.9 Hz, 1H), 7.97 – 7.76 (m, 4H), 7.45 – 7.34 (m, 4H), 7.23 – 7.12 (m, 4H), 6.80 – 6.75 (m, 1H), 6.64 – 6.59 (m, 1H), 5.19 (s, 0.66H), 5.17 (s, 0.31H), 4.63 – 4.42 (m, 2H), 3.66 (s, 2H), 3.52 (s, 1H), 0.95 (s, 3H), 0.87 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.4, 171.5, 171.4, 170.9, 147.0, 146.7, 137.8, 136.13, 136.07, 131.8, 131.7, 129.3, 129.14, 129.06, 129.02, 128.96, 128.9, 128.62, 128.59, 126.1, 126.0, 122.7, 120.32, 120.26, 120.2, 120.0, 111.4, 111.2, 109.4, 109.2, 60.9, 60.0, 57.0, 51.7, 51.6, 34.9, 34.5, 26.7, 26.4. HRMS (ESI-TOF) *m/z*: [M + Na]$^{+}$ Calcd for $C_{29}H_{31}N_3NaO_3$, 492.2258, Found: 492.2252.

Methyl (2R)-2-cyclohexyl-2-(2-(2-phenyl-1H-indol-3-yl)-2-(phenylamino)acetamido)acetate (11o)



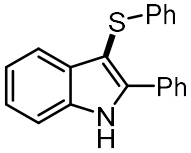
White solid (45 mg, 45% yield), dr = 2:1, mp 94 - 95 ℃, ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.42 (d, *J* = 8.7 Hz, 1H), 7.93 – 7.73 (m, 4H), 7.42 – 7.33 (m, 4H), 7.24 – 7.11 (m, 4H), 6.78 (t, *J* = 7.4 Hz, 1H), 6.64 – 6.61 (m, 2H), 5.20 (s, 0.36H), 5.16 (s, 0.63H), 4.65 – 4.46 (m, 2H), 3.68 (s, 1.17H), 3.57 (s, 1.83H), 1.84 – 1.42 (m, 6H), 1.21 – 0.77 (m, 5H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 172.4, 172.0, 171.6, 171.5, 147.1, 146.7, 137.79, 137.75, 136.2, 136.1, 131.8, 131.7, 129.22, 129.15, 129.03, 129.01, 128.94, 128.91, 128.6, 126.1, 126.0, 122.69, 122.66, 120.33, 120.30, 120.1, 119.3, 119.2, 114.3, 114.0, 111.5, 111.3, 109.3, 57.6, 57.3, 56.9, 56.6, 52.1, 51.9, 41.3, 40.9, 29.6, 29.5, 28.4, 27.7, 26.0, 25.92, 25.85, 25.8. HRMS (ESI) *m/z*: [M + Na]$^{+}$ Calcd for $C_{31}H_{33}N_3NaO_3$, 518.2414, Found: 518.2417.

2-methyl-3-(phenylthio)-1H-indole (12a)[17]



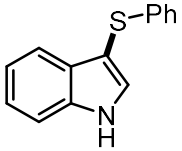
White solid (24 mg, 50% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.25(s, 1H), 7.54 (d, *J* = 7.7 Hz, 1H), 7.35 (d, *J* = 8.0 Hz, 1H), 7.24 – 7.09 (m, 4H), 7.08 – 6.99 (m, 3H), 2.53 (s, 3H). ^{13}C NMR (151 MHz, Chloroform-*d*) δ 141.1, 139.3, 135.4, 130.3, 128.7, 125.5, 124.5, 122.2, 120.7, 119.0, 110.6, 99.5, 12.2.

2-phenyl-3-(phenylthio)-1H-indole (12b)[18]



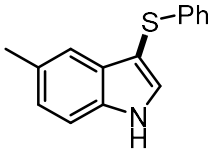
Yellow solid (40 mg, 66% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.52 (s, 1H), 7.81 – 7.71 (m, 2H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.49 – 7.34 (m, 4H), 7.31 – 7.22 (m, 1H), 7.20 – 7.12 (m, 3H), 7.12 – 7.08 (m, 2H), 7.04 (t, *J* = 7.1 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 142.0, 139.2, 135.8, 131.4, 131.2, 128.80, 128.78, 128.7, 128.1, 125.6, 124.6, 123.4, 121.2, 120.0, 111.1, 99.5.

3-(phenylthio)-1H-indole (12c)[17]



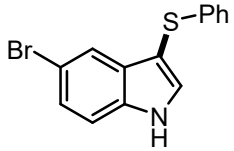
White solid (43 mg, 95% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.42 (s, 1H), 7.65 (d, *J* = 7.9 Hz, 1H), 7.57 – 7.43 (m, 2H), 7.36 – 7.26 (m, 1H), 7.23 – 7.16 (m, 3H), 7.14 – 7.12 (m, 2H), 7.11 – 7.05 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 139.2, 136.5, 130.7, 129.1, 128.7, 125.8, 124.8, 123.1, 120.9, 119.7, 111.6, 102.9.

5-methyl-3-(phenylthio)-1H-indole (12d)[17]



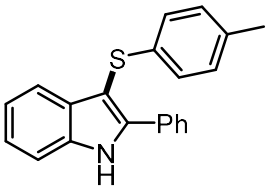
White solid (45 mg, 94% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.33 (s, 1H), 7.43 (d, *J* = 2.6 Hz, 1H), 7.42 – 7.39 (m, 1H), 7.36 (d, *J* = 8.3 Hz, 1H), 7.24 – 7.16 (m, 2H), 7.16 – 7.05 (m,4H), 2.45 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 139.5, 134.8, 130.9, 130.4, 129.4, 128.7, 125.6, 124.72, 124.65, 119.2, 111.2, 102.0, 21.5.

5-bromo-3-(phenylthio)-1H-indole (12e)[17]



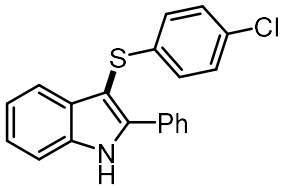
White solid (51 mg, 84% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.55 – 8.40 (m, 1H), 7.78 (d, *J* = 1.6 Hz, 1H), 7.52 (d, *J* = 2.6 Hz, 1H), 7.43 – 7.31 (m, 2H), 7.24 – 7.17 (m, 2H), 7.12 – 7.08 (m, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 138.7, 135.1, 131.8, 131.0, 128.8, 126.1, 126.0, 125.9, 122.3, 114.5, 113.1, 102.8.

2-phenyl-3-(p-tolylthio)-1H-indole (12f)[19]



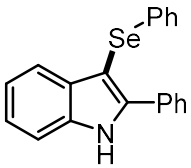
White solid (35 mg, 56% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.53 (s, 1H), 7.86 – 7.75 (m, 2H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.55 – 7.40 (m, 4H), 7.35 – 7.29 (m, 1H), 7.24 – 7.17 (m, 1H), 7.22 – 7.18 (m, 4H), 2.28 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 141.9, 135.8, 135.6, 134.4, 131.5, 131.2, 129.6, 128.8, 128.7, 128.1, 125.8, 123.3, 121.1, 120.0, 111.1, 100.0, 20.9.

3-((4-chlorophenyl)thio)-2-phenyl-1H-indole (12g)[19]



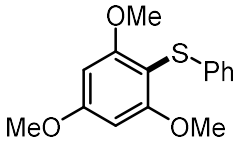
White solid (54 mg, 80% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.59 (s, 1H), 7.92 – 7.71 (m, 2H), 7.63 (d, *J* = 7.9 Hz, 1H), 7.56 – 7.39 (m, 4H), 7.38 – 7.29 (m, 1H), 7.26 – 7.11 (m, 3H), 7.09 – 6.97 (m, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 142.2, 137.8, 135.8, 131.2, 130.9, 130.4, 128.89, 128.87, 128.85, 128.1, 126.8, 123.5, 121.3, 119.8, 111.2, 98.9.

2-phenyl-3-(phenylselanyl)-1H-indole (12h)[18]



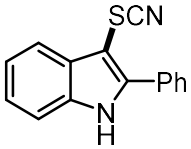
Brown oil (50 mg, 72% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.59 (s, 1H), 7.81 – 7.74 (m, 2H), 7.70 (d, *J* = 7.9 Hz, 1H), 7.53 – 7.38 (m, 4H), 7.37 – 7.29 (m, 1H), 7.27 – 7.19 (m, 3H), 7.19 – 7.08 (m, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 142.1, 136.2, 134.1, 132.1, 132.0, 129.1, 128.6, 128.5, 128.4, 128.3, 125.4, 123.3, 121.1, 120.9, 111.0, 95.9.

Phenyl(2,4,6-trimethoxyphenyl)sulfane (12i)[20]



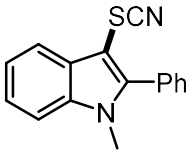
White solid (33 mg, 72% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.20 – 7.16 (m, 2H), 7.10 – 7.00 (m, 3H), 6.24 (s, 2H), 3.90 (s, 3H), 3.83 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.9, 162.5, 138.7, 128.5, 125.6, 124.4, 98.6, 91.2, 56.3, 55.5.

2-phenyl-3-thiocyanato-1H-indole (12j)[22]



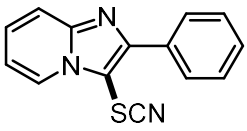
Yellow solid (38 mg, 76% yield), ^{1}H NMR (400 MHz, DMSO-d_6) δ 12.41 (s, 1H), 7.90 – 7.84 (m, 2H), 7.76 – 7.69 (m, 1H), 7.67 – 7.59 (m, 2H), 7.59 – 7.51 (m, 2H), 7.37 – 7.26 (m, 2H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 143.6, 136.3, 130.4, 129.8, 129.6, 129.4, 129.3, 123.9, 121.9, 118.5, 112.9, 112.8, 87.6.

1-methyl-2-phenyl-3-thiocyanato-1H-indole (12k)[13]



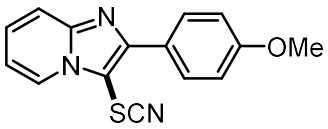
Yellow solid (35 mg, 66% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.85 – 7.83 (m, 1H), 7.59 – 7.51 (m, 3H), 7.51 – 7.45 (m, 2H), 7.44 – 7.32 (m, 3H), 3.68 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 146.5, 137.2, 130.7, 129.6, 129.1, 128.8, 128.5, 123.6, 122.0, 118.97, 112.2, 110.3, 89.5, 31.8.

2-phenyl-3-thiocyanatoimidazo[1,2-a]pyridine (12l)[22]



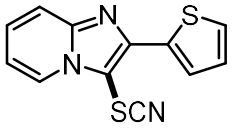
Red solid (40 mg, 80% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.46 (d, *J* = 6.8 Hz, 1H), 8.13 – 8.00 (m, 2H), 7.77 (d, *J* = 9.0 Hz, 1H), 7.57 – 7.44 (m, 4H), 7.13 (t, *J* = 6.8 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 153.1, 148.0, 132.0, 129.5, 128.82, 128.76, 128.0, 124.4, 118.3, 114.4, 108.1, 94.7.

2-(4-methoxyphenyl)-3-thiocyanatoimidazo[1,2-a]pyridine (12m)[22]



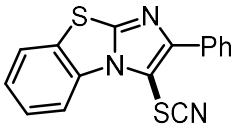
White solid (46 mg, 82% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.45 – 8.43 (m, 1H), 8.08 – 8.00 (m, 2H), 7.76 – 7.73 (m, 1H), 7.48 – 7.44 (m, 1H), 7.14 – 7.03 (m, 3H), 3.89 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 160.6, 153.0, 147.9, 130.2, 127.9, 124.5, 124.3, 118.0, 114.2, 108.3, 93.7, 55.4.

3-thiocyanato-2-(thiophen-2-yl)imidazo[1,2-a]pyridine (12n)[22]



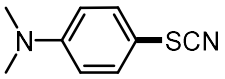
White solid (37 mg, 72% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.40 – 8.38 (m, 1H), 7.96 – 7.95 (m, 1H), 7.73 – 7.70 (m, 1H), 7.54 – 7.39 (m, 2H), 7.20 (dd, *J* = 5.1, 3.7 Hz, 1H), 7.12 – 7.08 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 147.94, 147.86, 134.7, 128.3, 128.2, 128.1, 127.7, 124.2, 118.0, 114.5, 107.6, 93.2.

2-phenyl-3-thiocyanatobenzo[d]imidazo[2,1-b]thiazole (12o)[22]



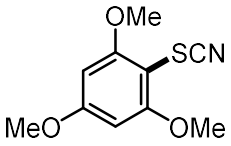
Pale yellow solid (41 mg, 65% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.47 (d, *J* = 8.2 Hz, 1H), 8.04 – 7.91 (m, 2H), 7.77 (d, *J* = 7.8 Hz, 1H), 7.60 – 7.41 (m, 5H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 155.5, 152.7, 132.9, 131.7, 130.2, 129.3, 128.7, 128.4, 127.0, 125.8, 124.5, 113.9, 108.9, 98.0.

N,N-dimethyl-4-thiocyanatoaniline (12p)[22]



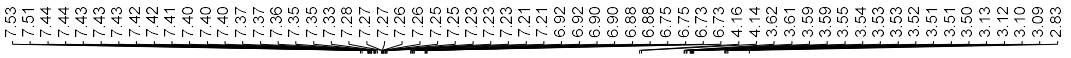
Light yellow solid (14 mg, 40% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.47 – 7.38 (m, 2H), 6.72 – 6.62 (m, 2H), 2.99 (s, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 151.7, 134.5, 113.1, 112.6, 106.5, 40.2.

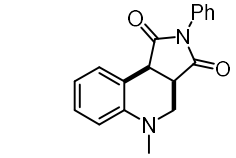
1,3,5-trimethoxy-2-thiocyanatobenzene (12q)[22]



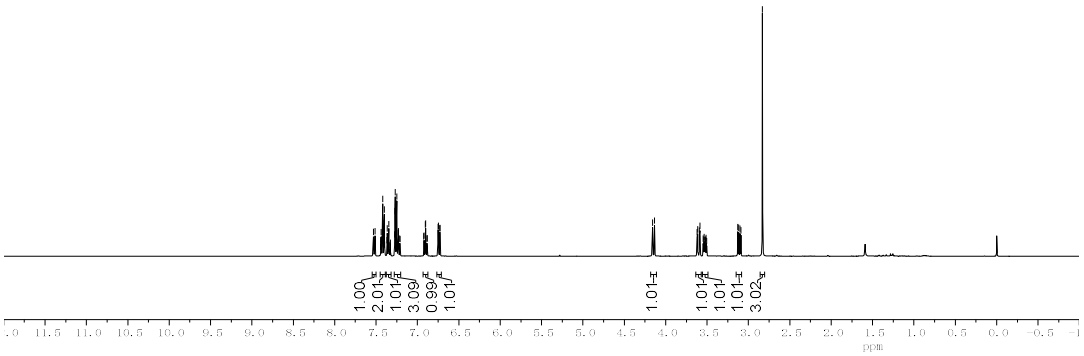
Light yellow solid (27 mg, 60% yield), ^{1}H NMR (400 MHz, Chloroform-*d*) δ 6.16 (s, 2H), 3.92 (s, 6H), 3.84 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.3, 161.4, 111.8, 91.3, 89.8, 56.4, 55.6.

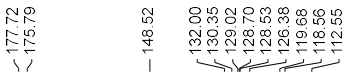
4. NMR Spectra

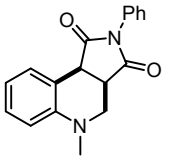




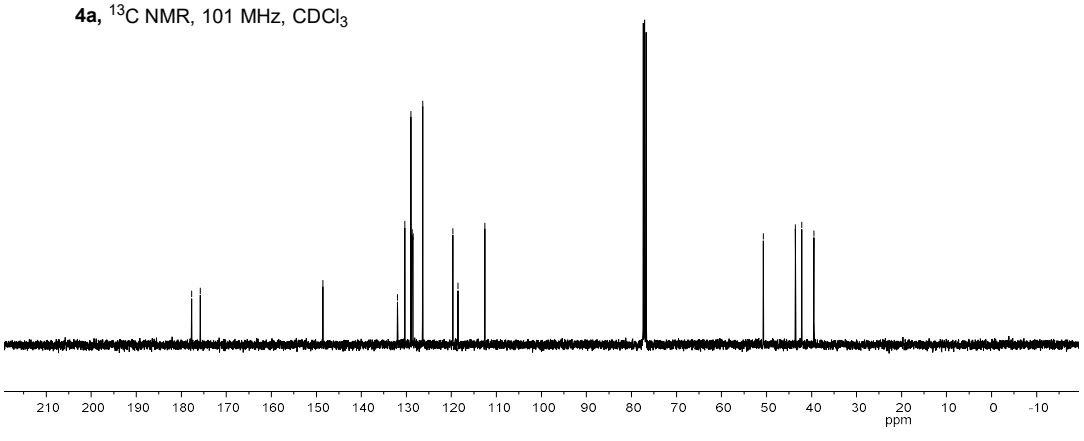
4a, ^{1}H NMR, 400 MHz, $CDCl_3$

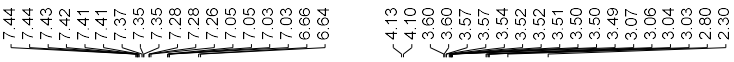


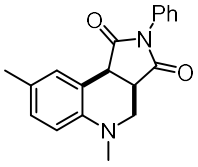




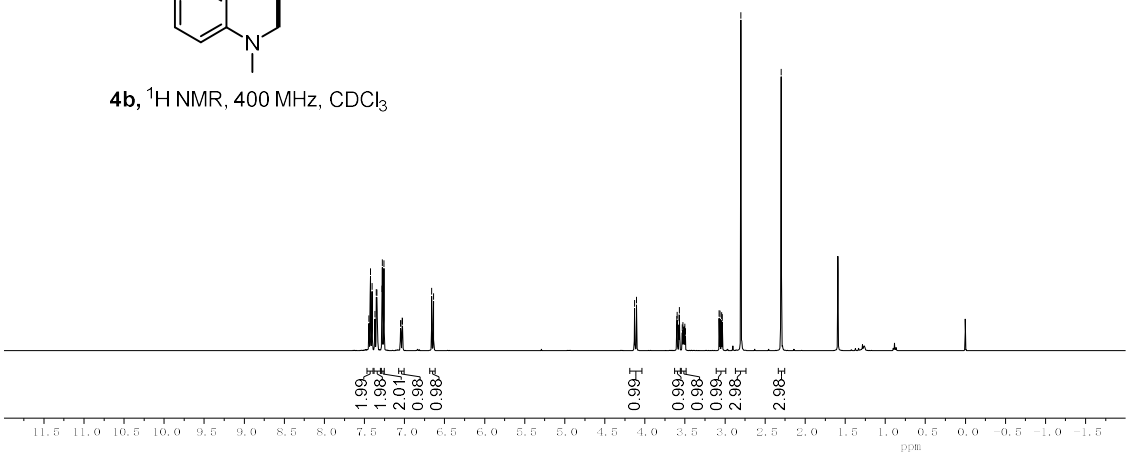
4a, ^{13}C NMR, 101 MHz, $CDCl_3$

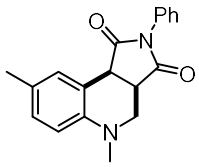




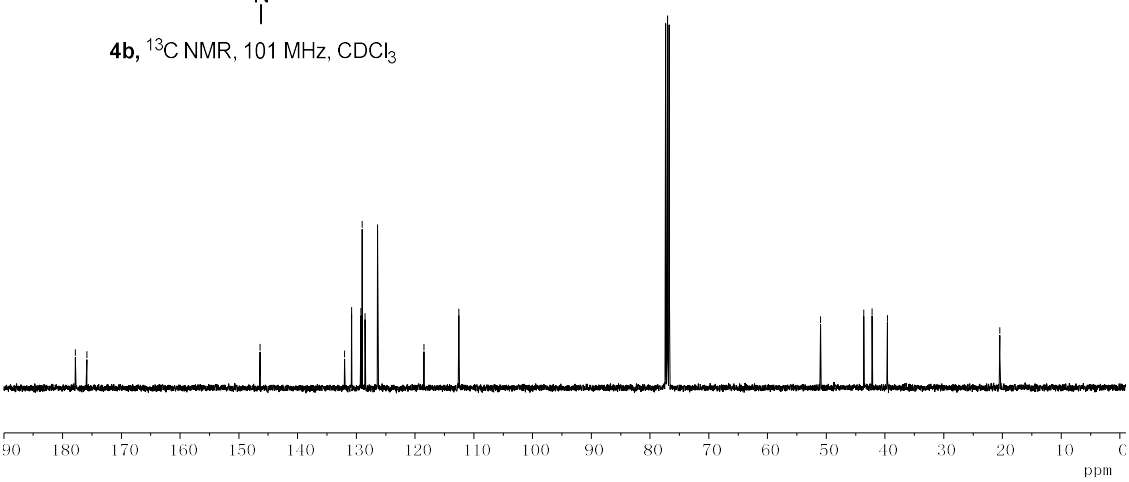


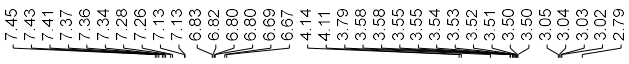
4b, ^{1}H NMR, 400 MHz, $CDCl_3$

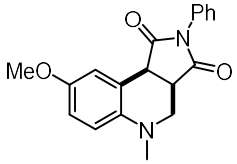




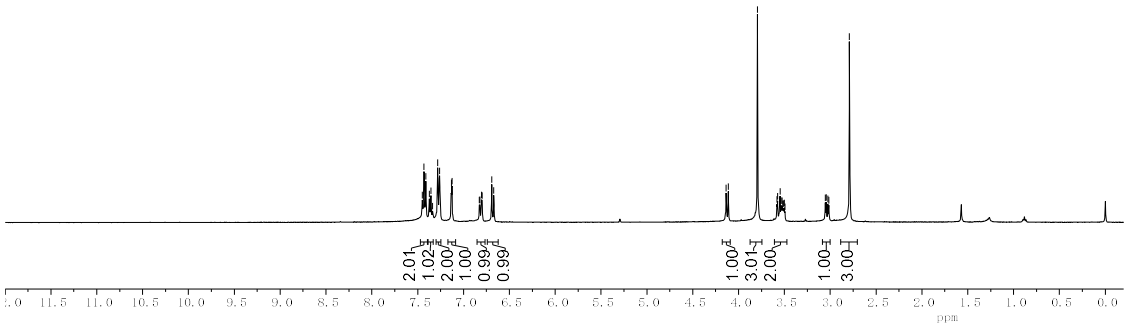
4b, ^{13}C NMR, 101 MHz, $CDCl_3$

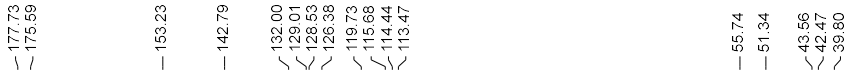


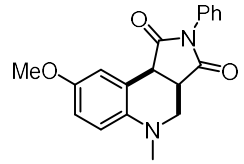




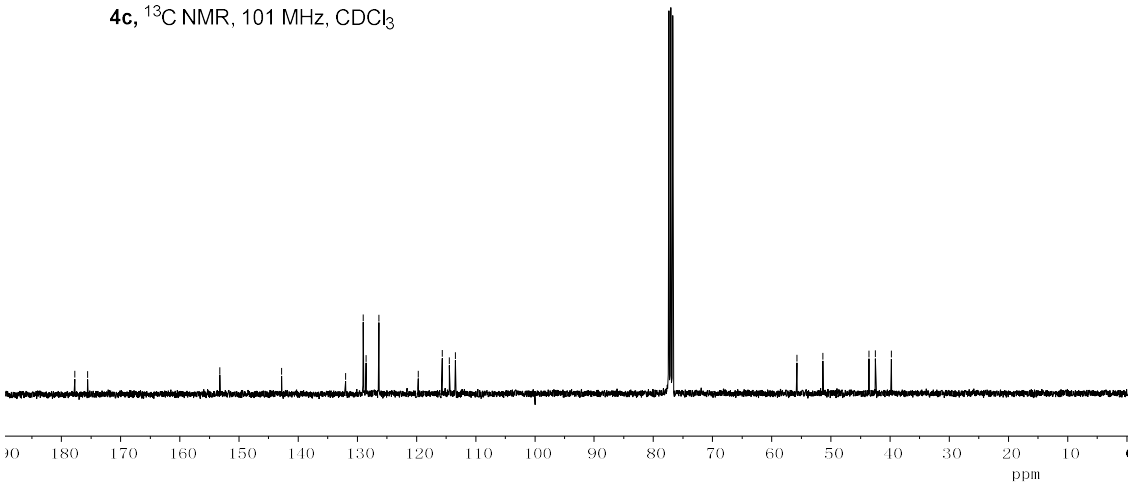
4c, ^{1}H NMR, 400 MHz, $CDCl_3$

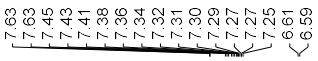


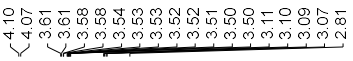


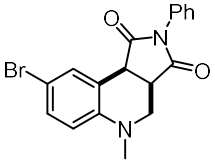


4c, ^{13}C NMR, 101 MHz, $CDCl_3$

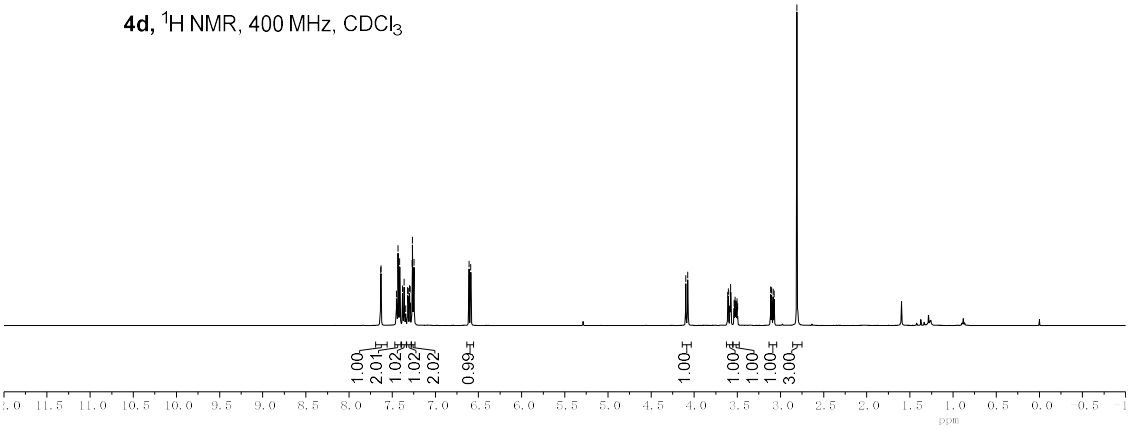


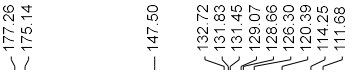


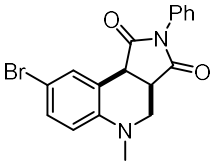




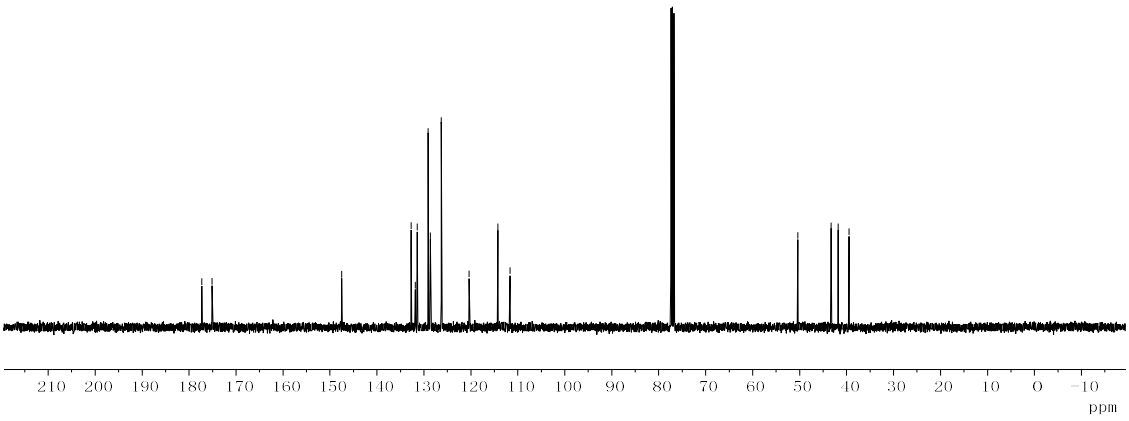
4d, ^{1}H NMR, 400 MHz, $CDCl_3$

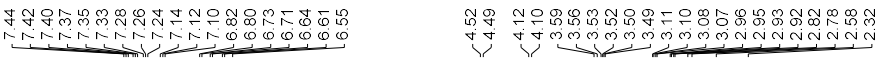


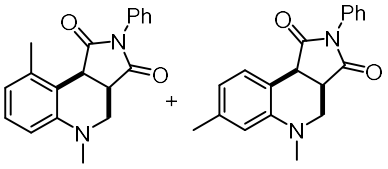




4d, ^{13}C NMR, 101 MHz, $CDCl_3$

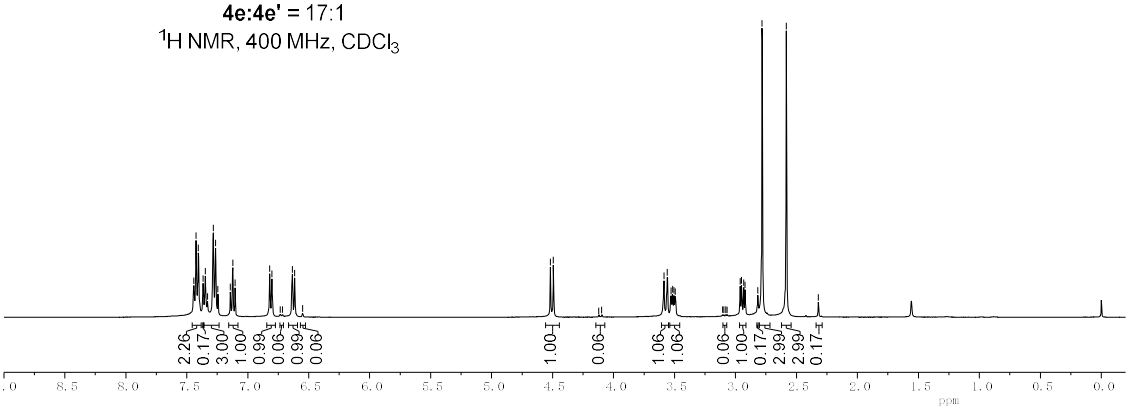


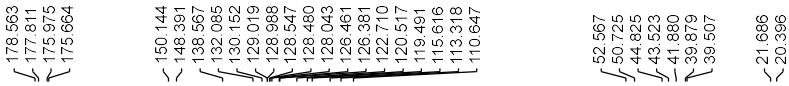


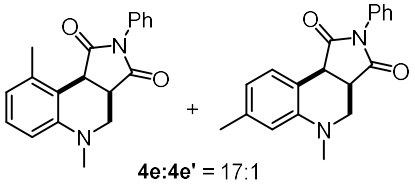


4e:4e' = 17:1

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

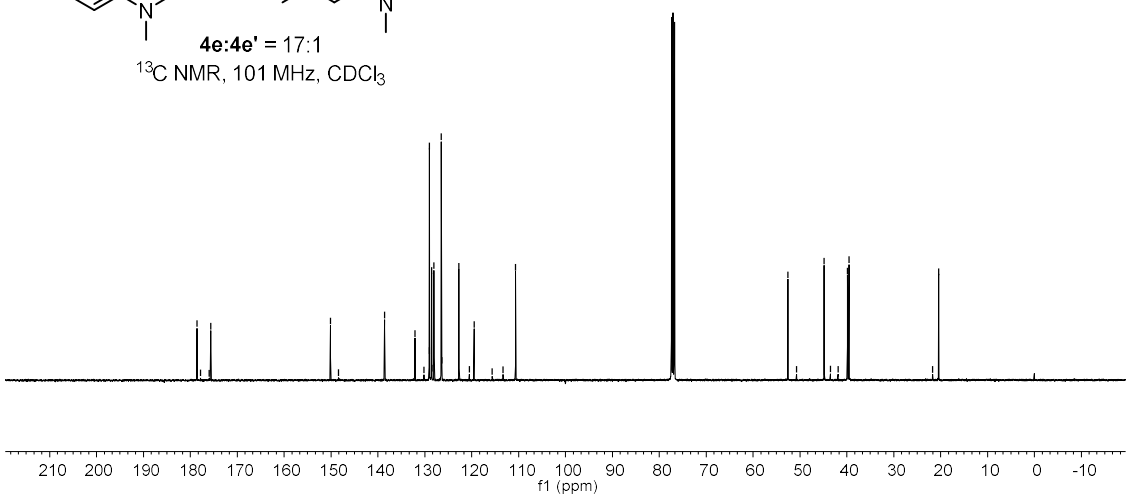


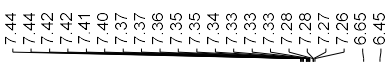


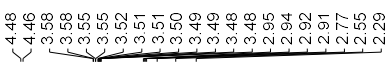


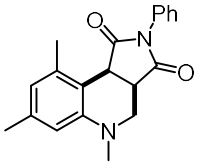
4e:4e' = 17:1

^{13}C NMR, 101 MHz, $CDCl_3$

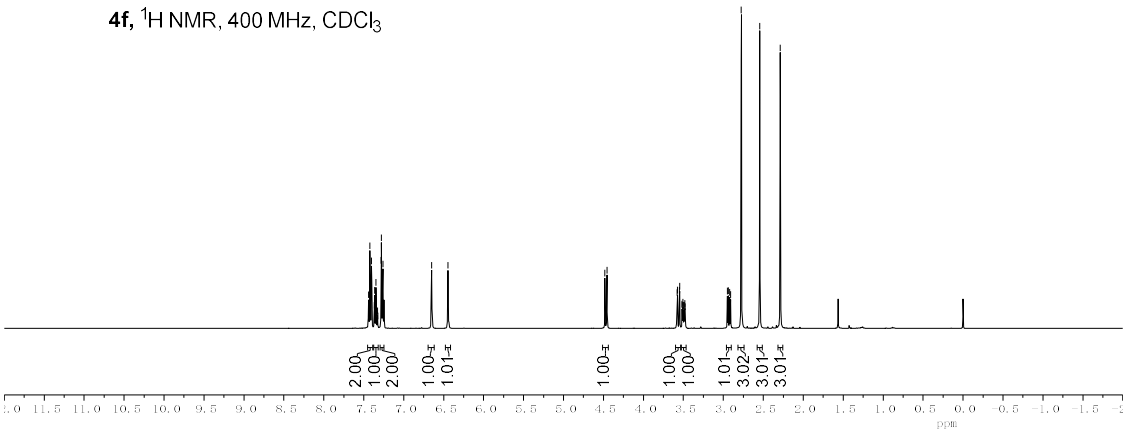


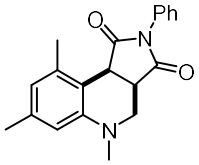




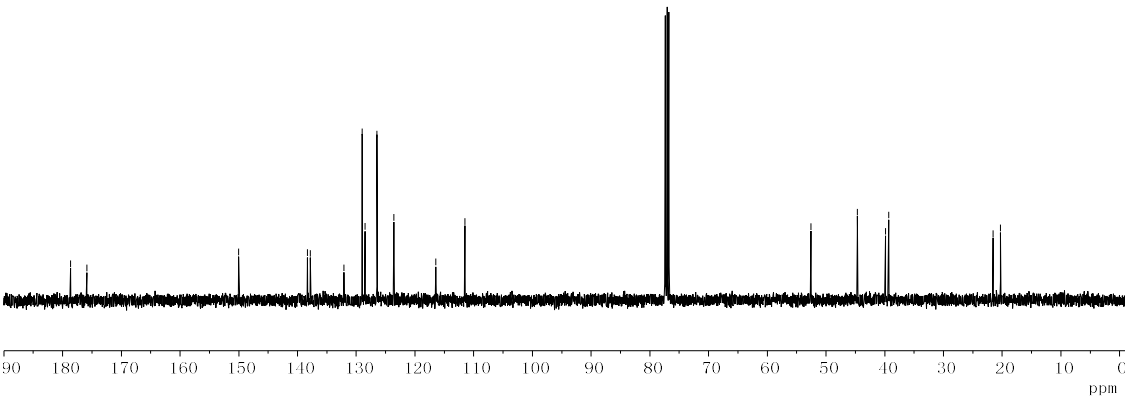


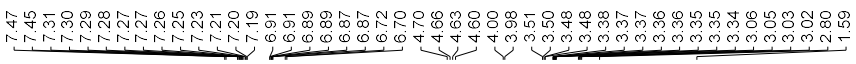
4f, ^{1}H NMR, 400 MHz, $CDCl_3$

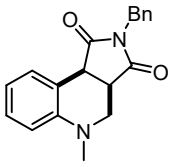




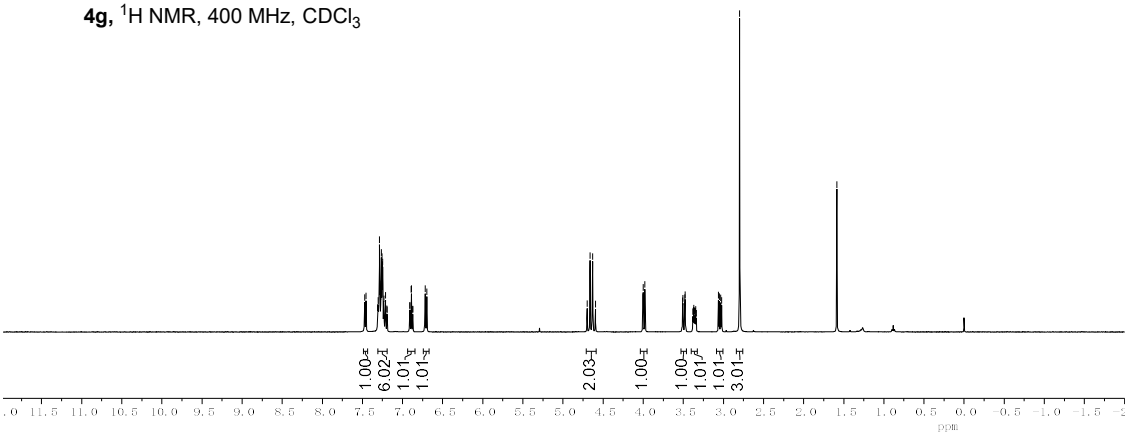
4f, ^{13}C NMR, 101 MHz, $CDCl_3$

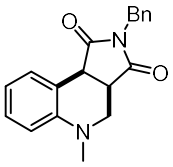




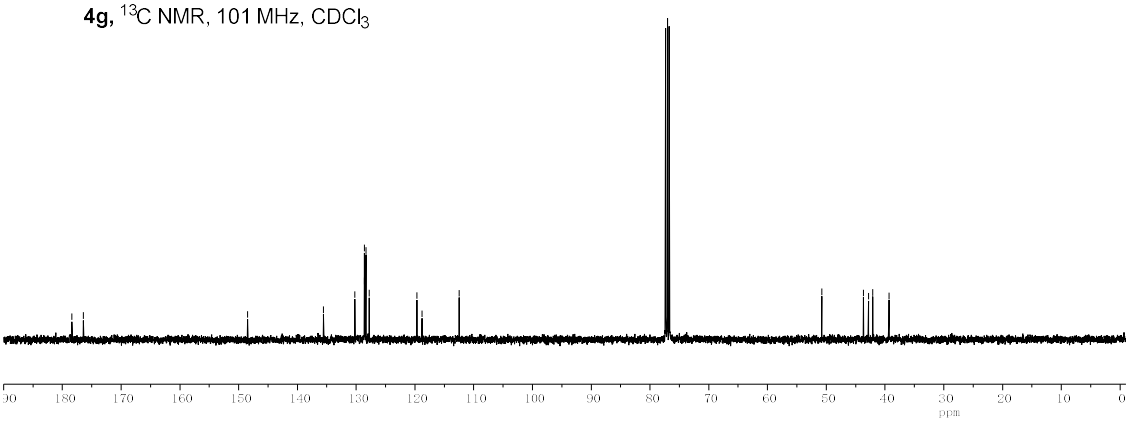


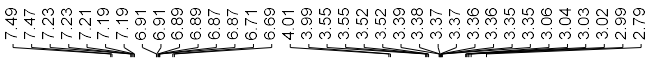
4g, ^{1}H NMR, 400 MHz, $CDCl_3$

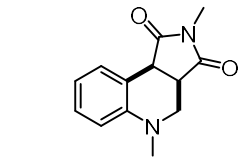




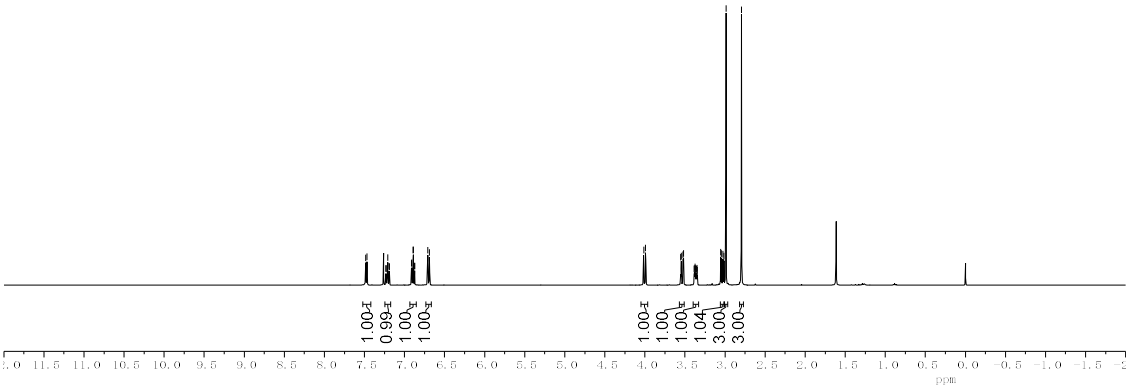
4g, ^{13}C NMR, 101 MHz, $CDCl_3$

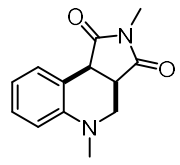




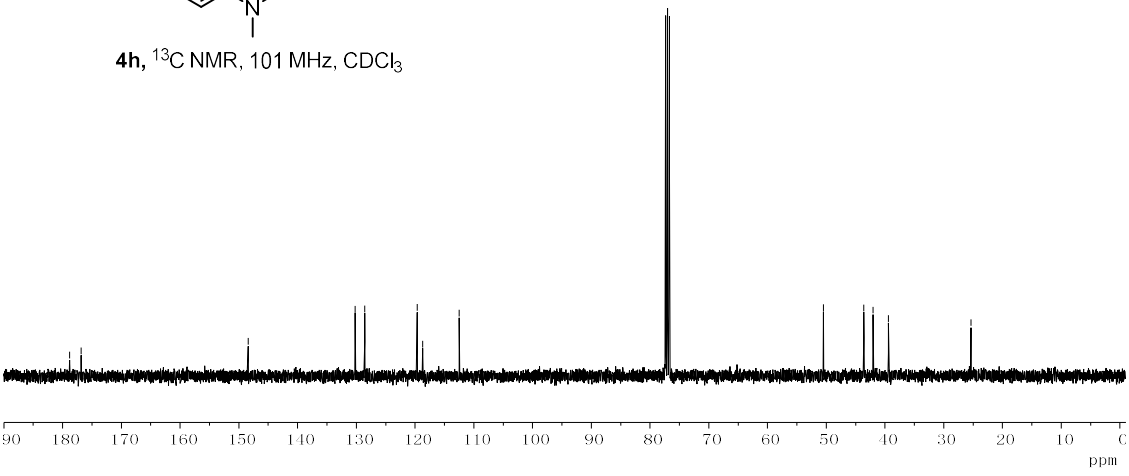


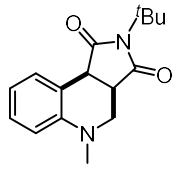
4h, ^{1}H NMR, 400 MHz, $CDCl_3$



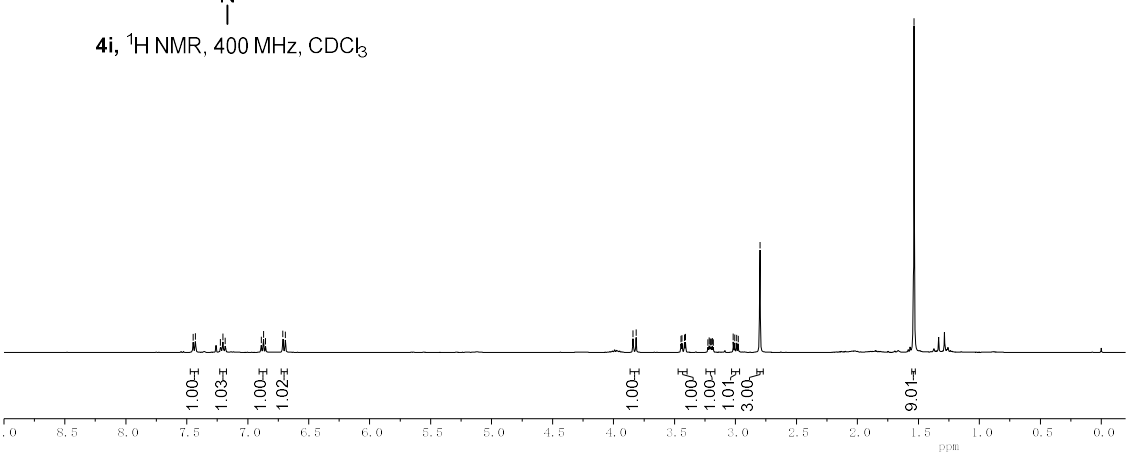


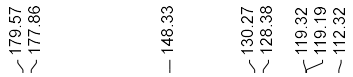
4h, ^{13}C NMR, 101 MHz, $CDCl_3$

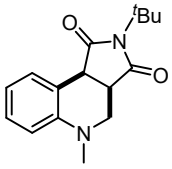




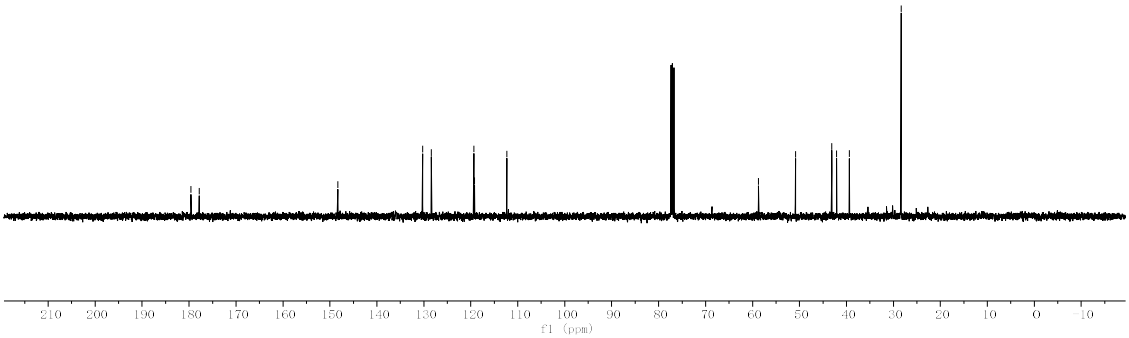
4i, ^{1}H NMR, 400 MHz, $CDCl_3$

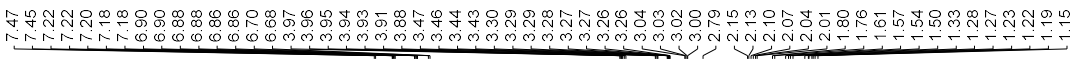


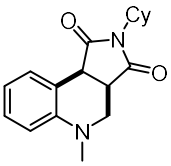




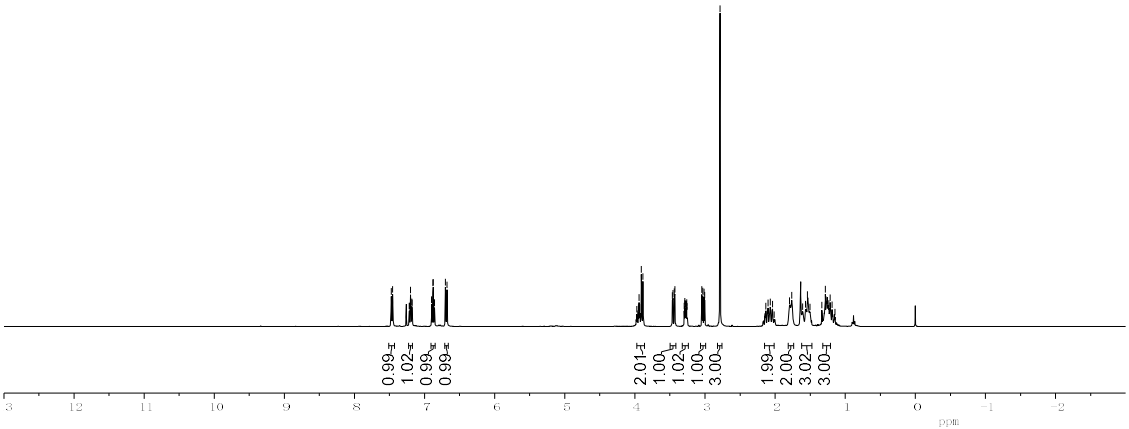
4i, ^{13}C NMR, 101 MHz, $CDCl_3$

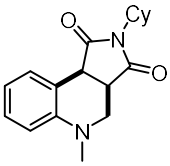




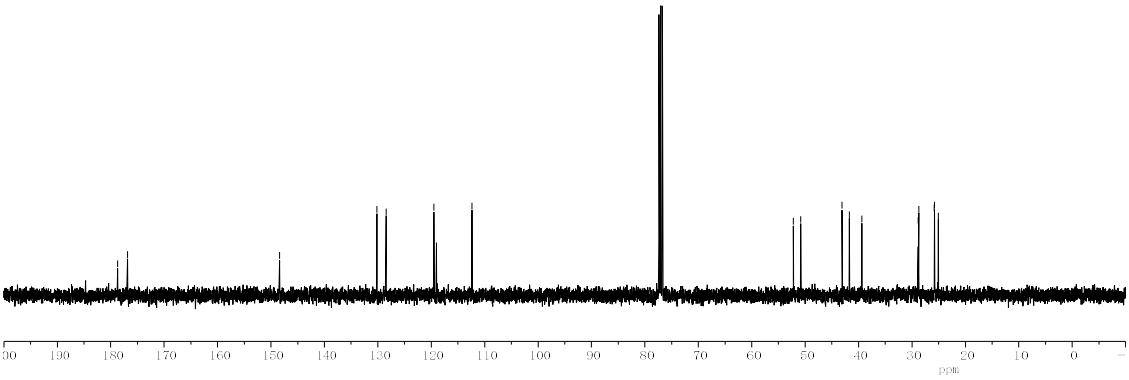


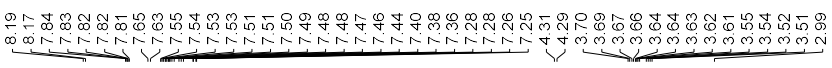
4j, ^{1}H NMR, 400 MHz, $CDCl_3$

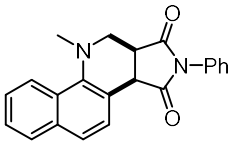




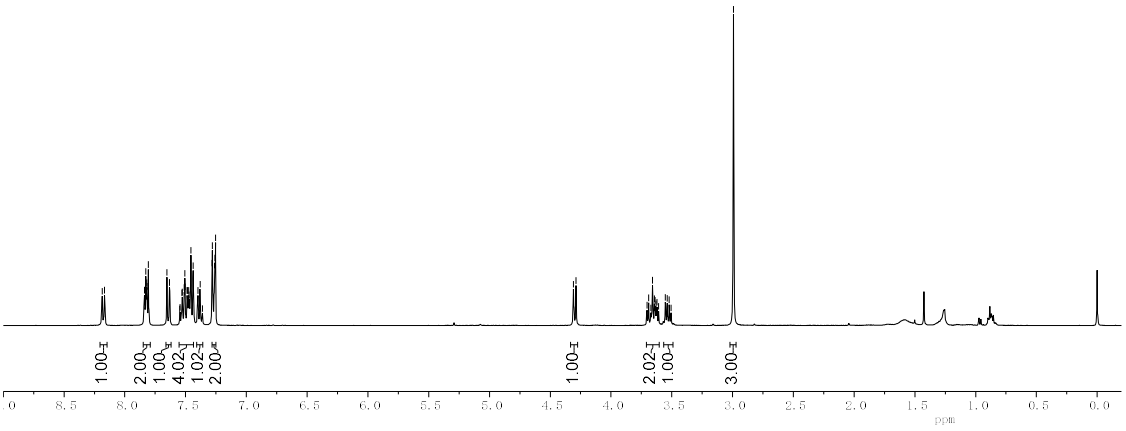
4j, ^{13}C NMR, 101 MHz, $CDCl_3$

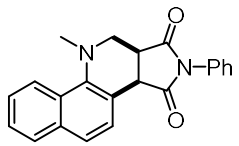




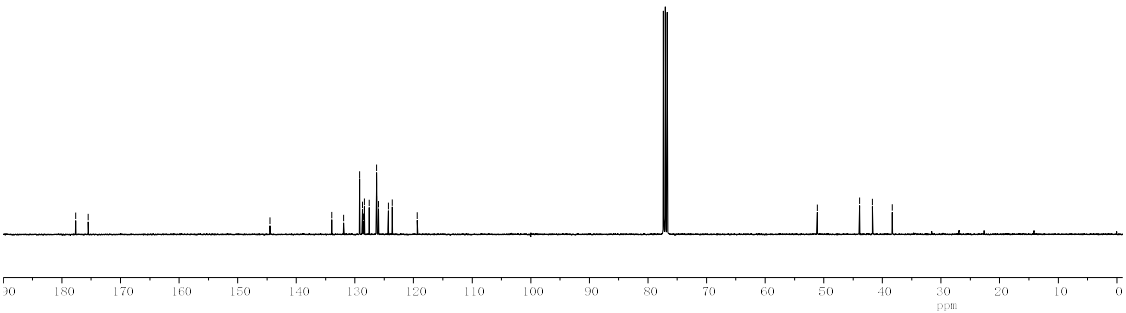


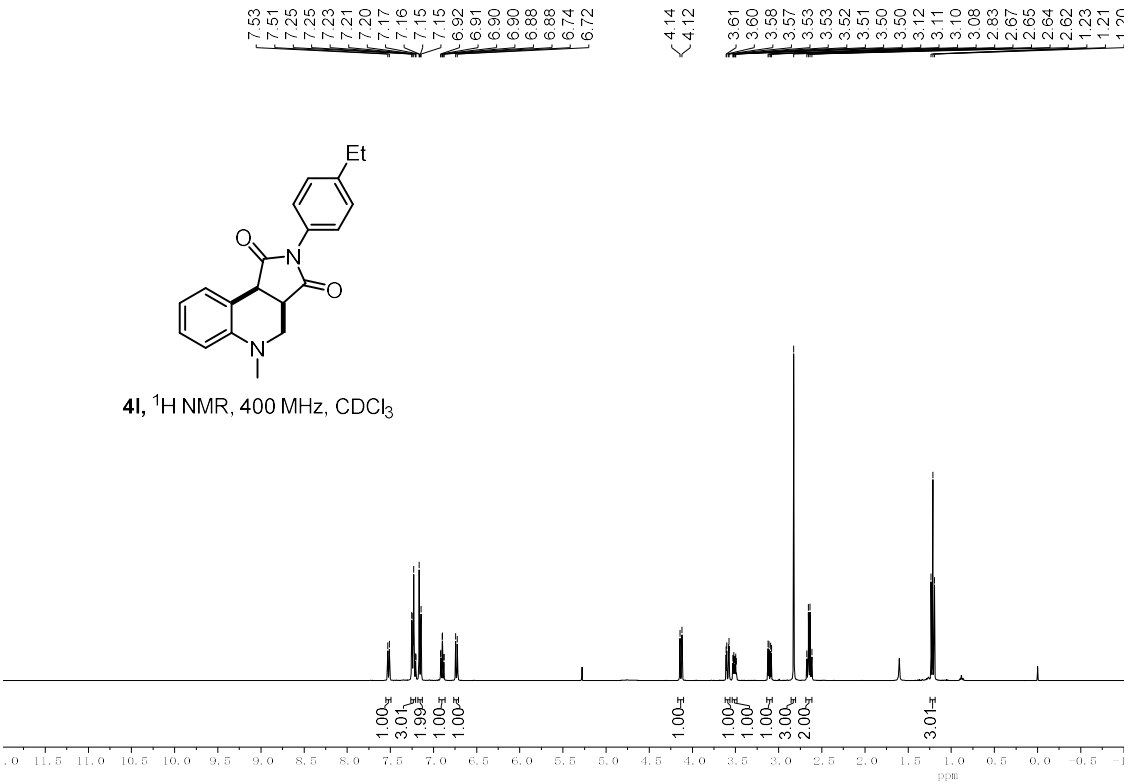
4k, ^{1}H NMR, 400 MHz, $CDCl_3$





4k, ^{13}C NMR, 101 MHz, $CDCl_3$

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6.90
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6.88
6.88
6.74
6.72
4.14
4.12
3.61
3.60
3.58
3.57
3.53
3.53
3.52
3.51
3.50
3.50
3.12
3.11
3.10
3.08
2.83
2.67
2.65
2.64
2.62
1.23
1.21
Et
O
N
O
N
4l, 1H NMR, 400 MHz, CDCl3
1.00
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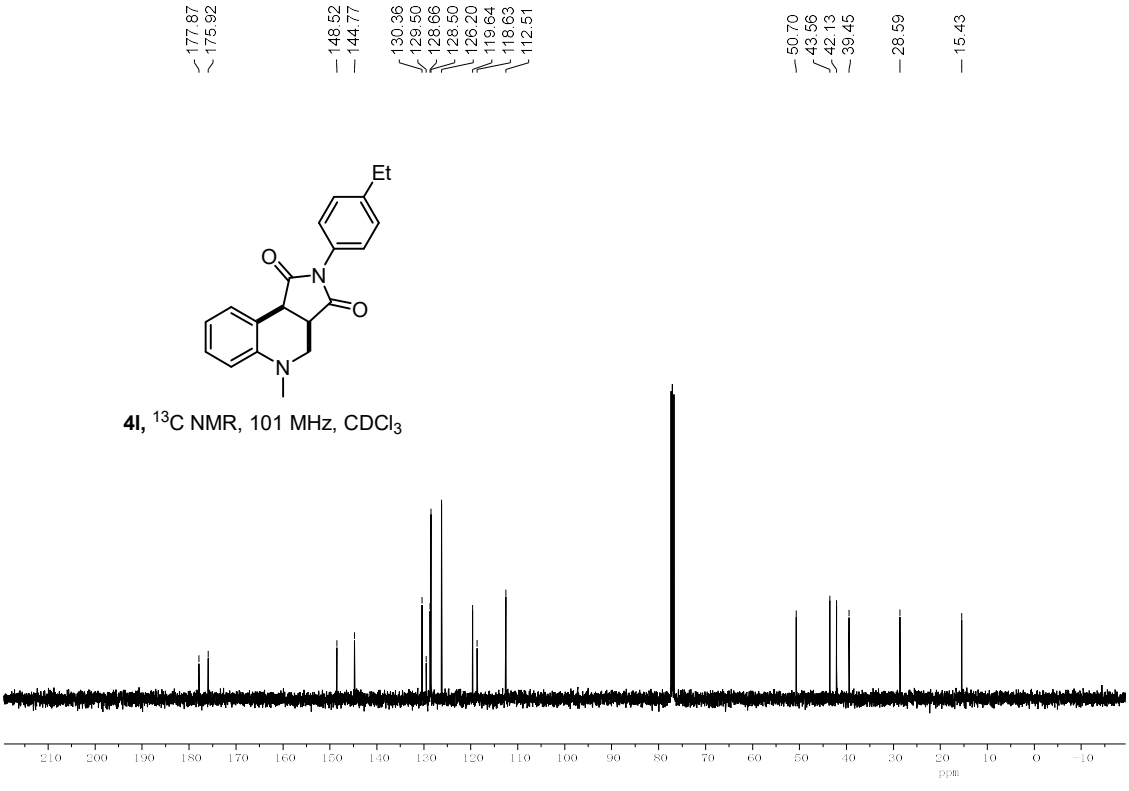
1.99
1.00
1.00
1.00
1.00
1.00
3.00
2.00
3.01
ppm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128.66
128.50
126.20
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118.63
112.51
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43.56
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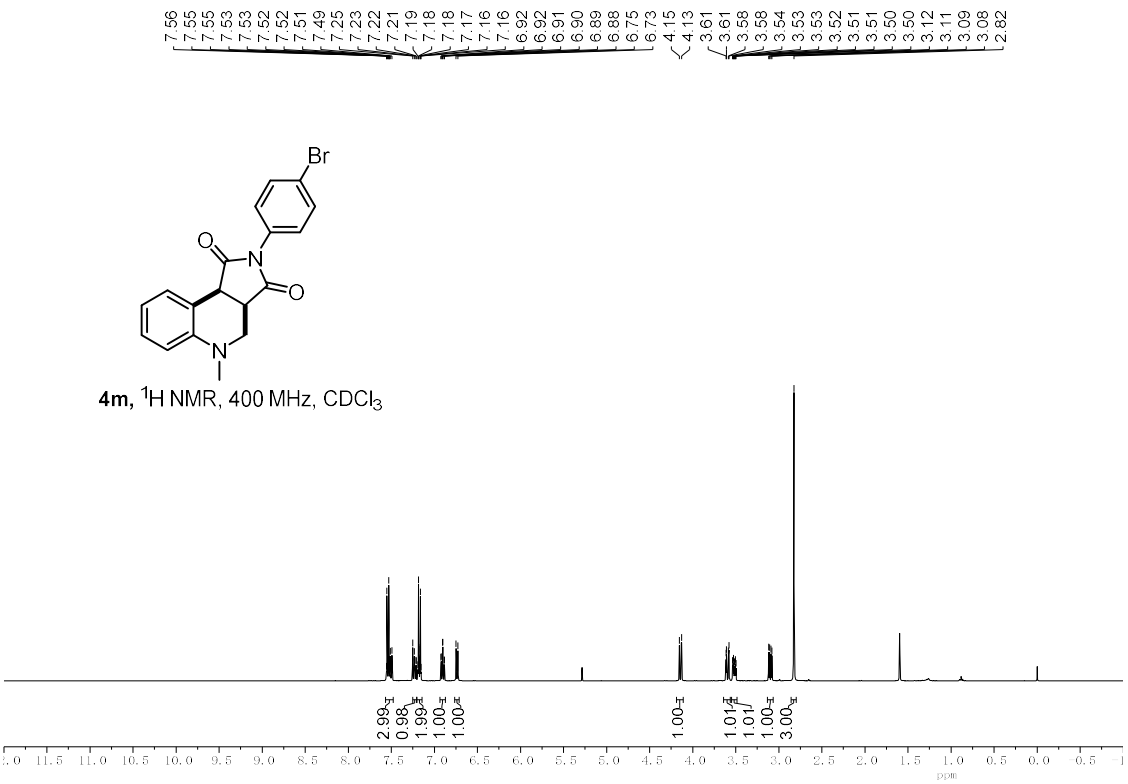
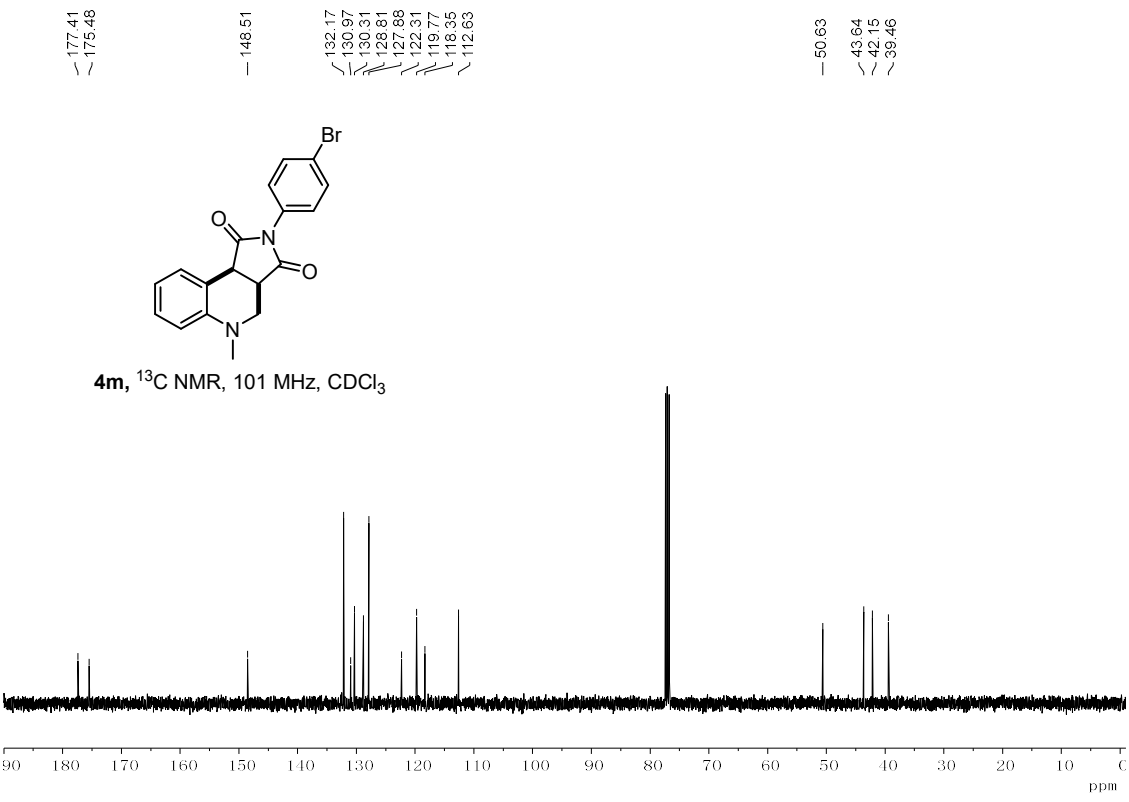
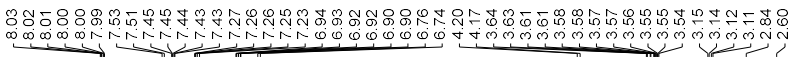
39.45
28.59
15.43
Et
O
N
O
N
4l, 13C NMR, 101 MHz, CDCl3
ppm

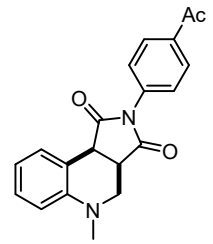


4m, ^{1}H NMR, 400 MHz, $CDCl_3$

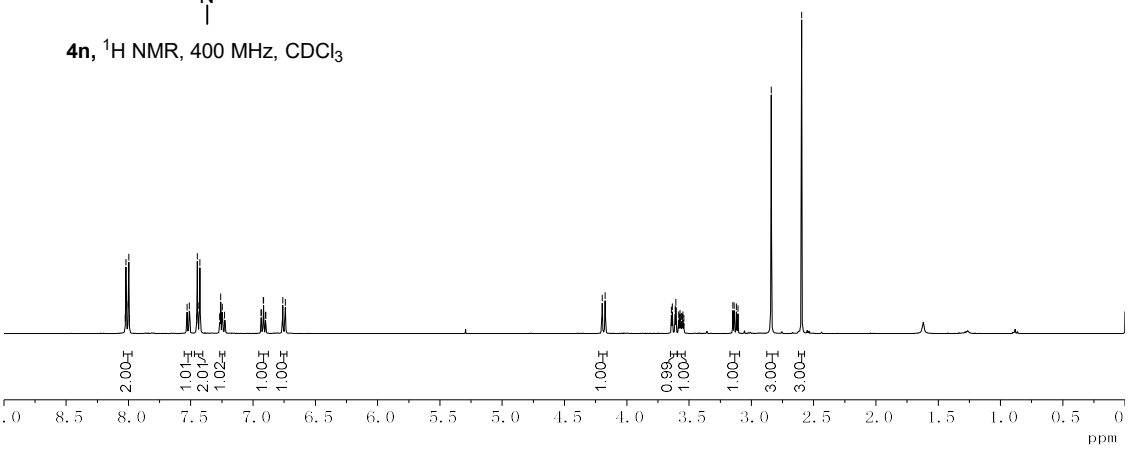


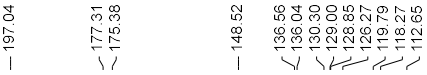
4m, ^{13}C NMR, 101 MHz, $CDCl_3$

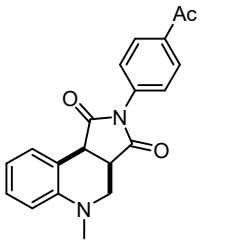




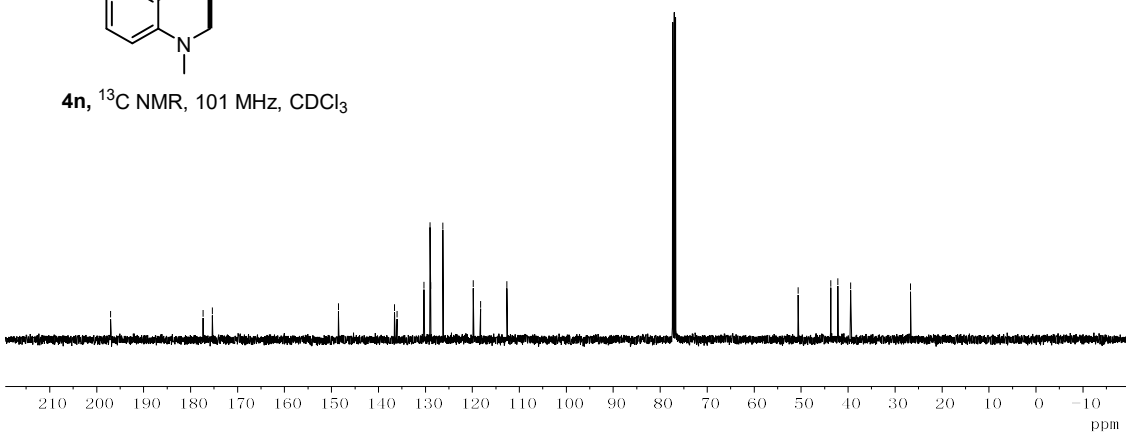
4n, ^{1}H NMR, 400 MHz, $CDCl_3$

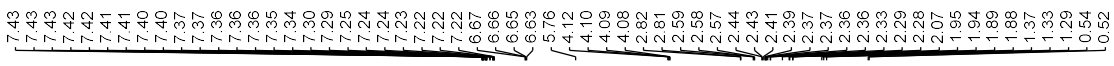


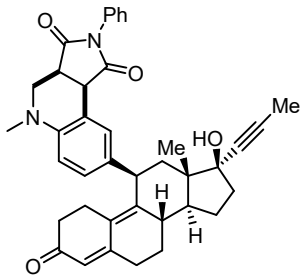




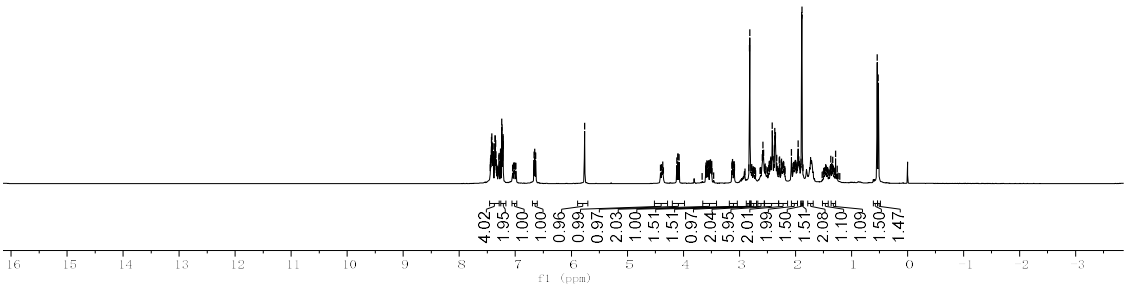
4n, ^{13}C NMR, 101 MHz, $CDCl_3$

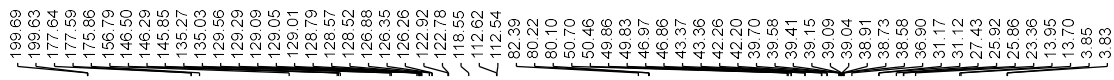


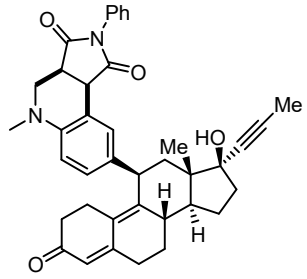




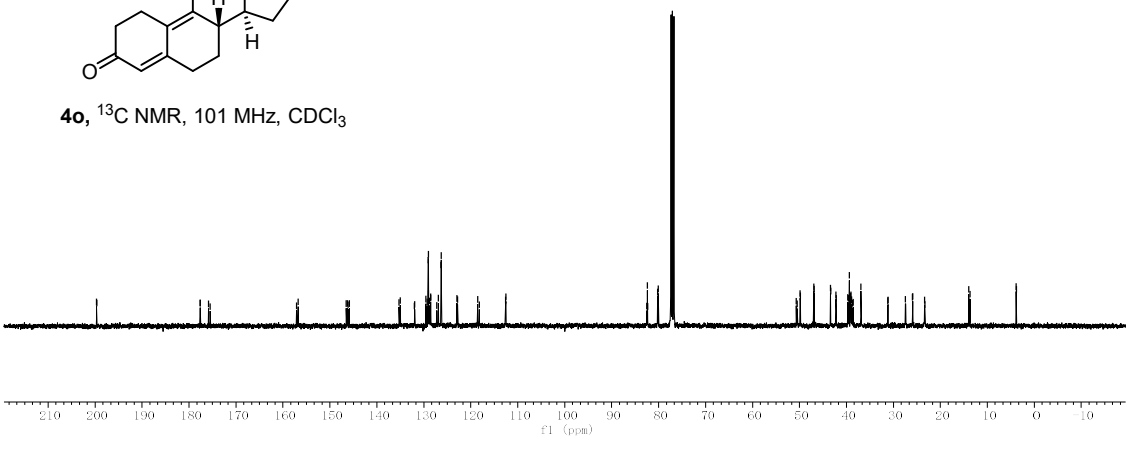
4o, ^{1}H NMR, 400 MHz, $CDCl_3$

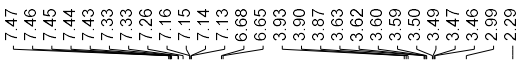


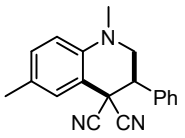




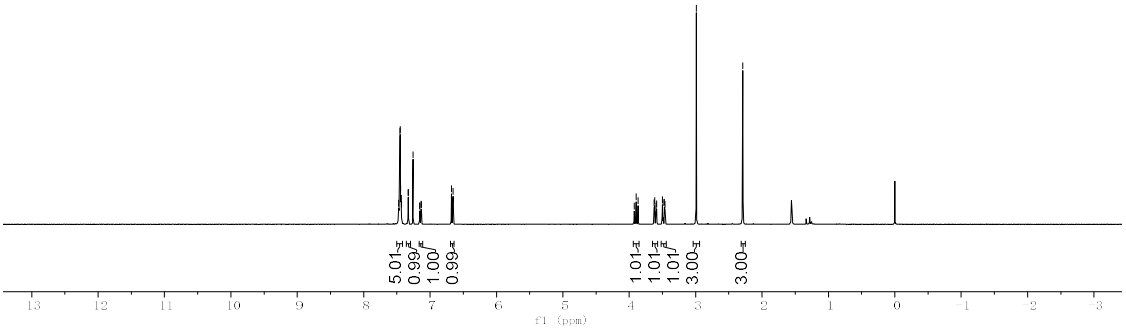
4o, ^{13}C NMR, 101 MHz, $CDCl_3$

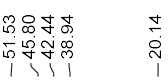


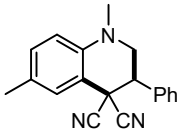




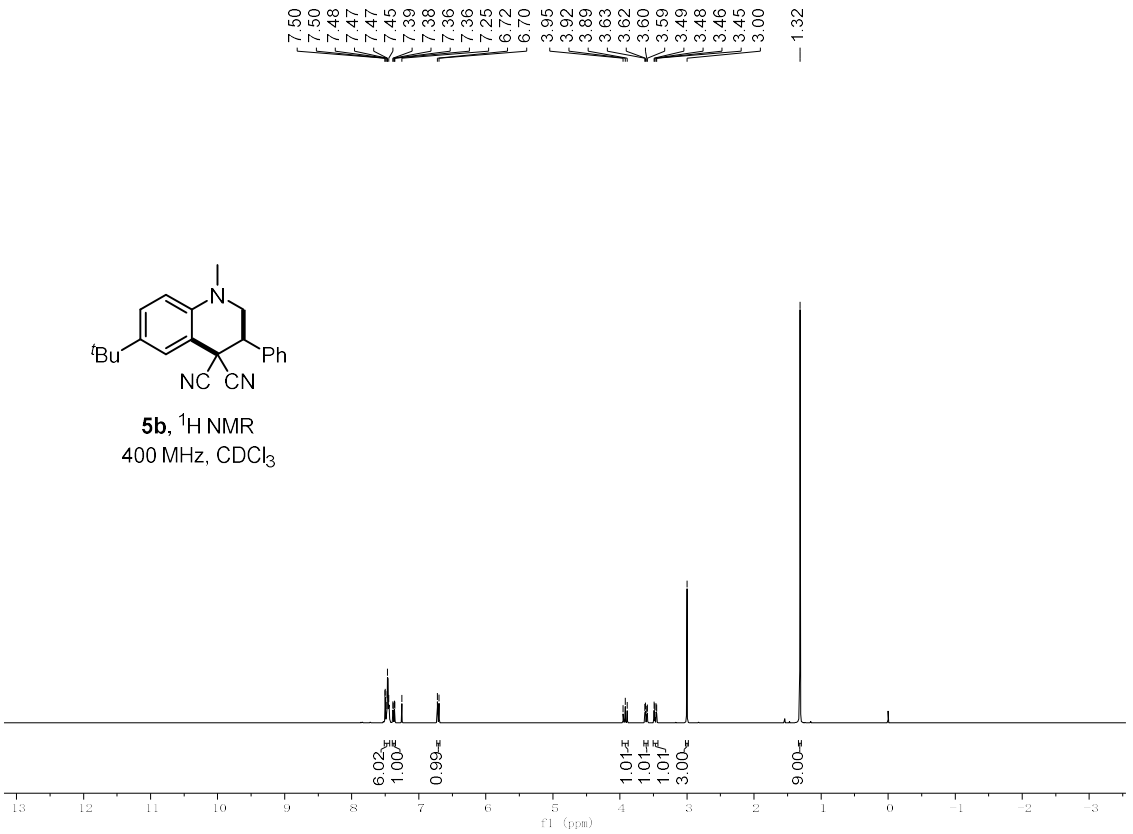
5a, ^{1}H NMR
400 MHz, $CDCl_3$



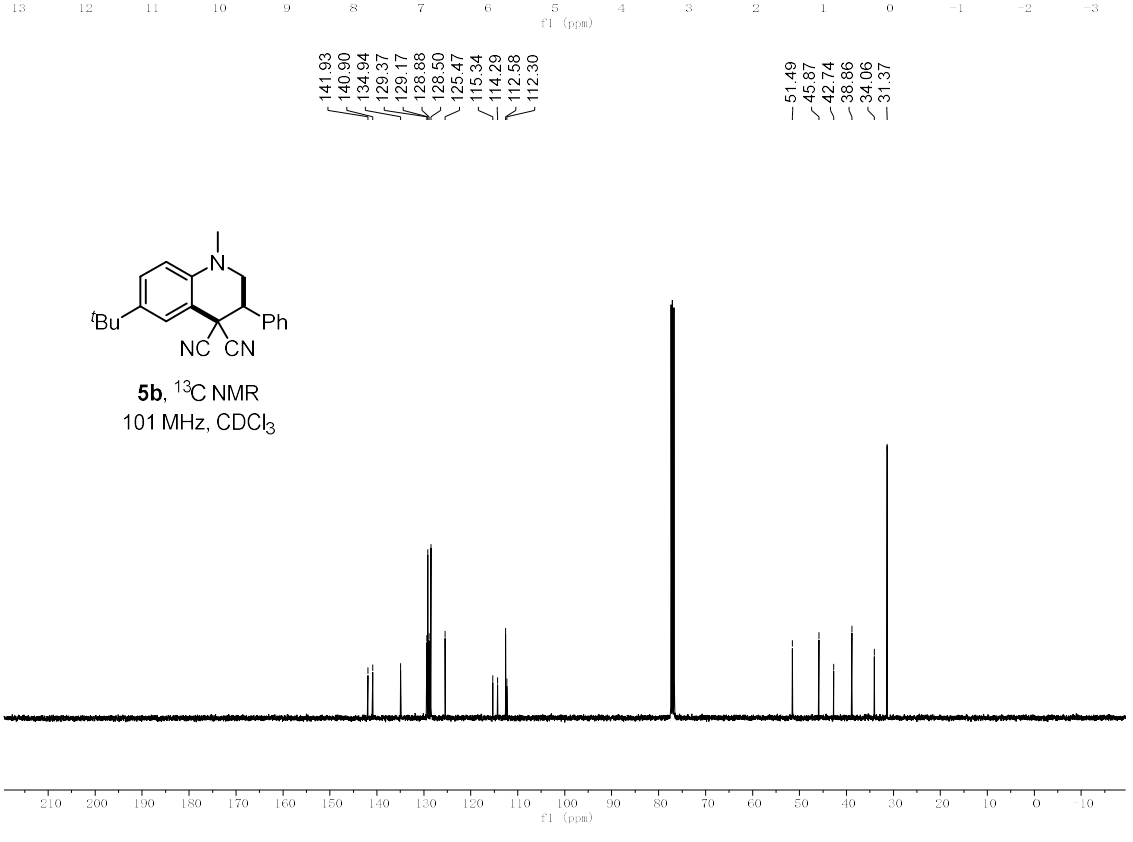




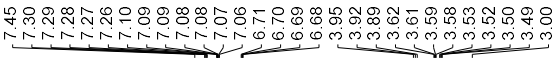
5a, ^{13}C NMR
101 MHz, $CDCl_3$

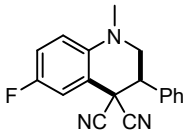


5b, ^{1}H NMR
400 MHz, $CDCl_3$

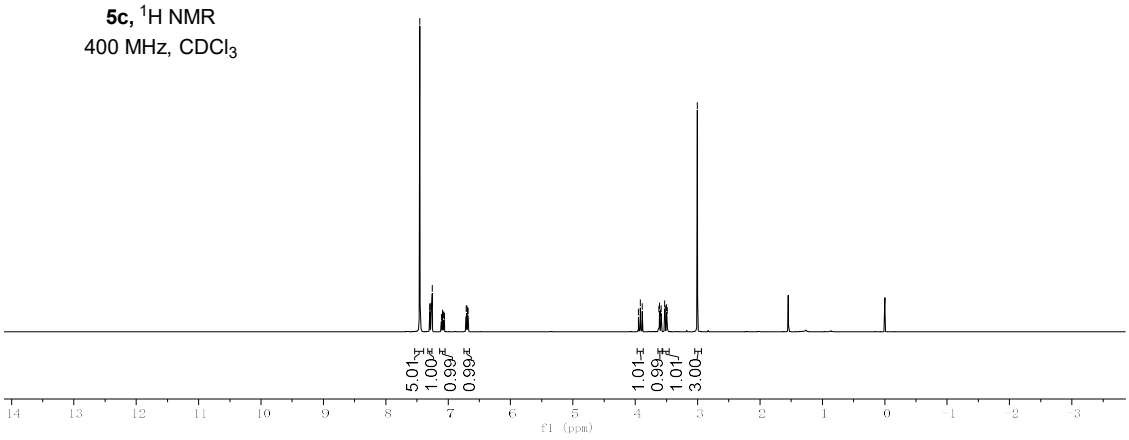


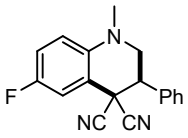
5b, ^{13}C NMR
101 MHz, $CDCl_3$



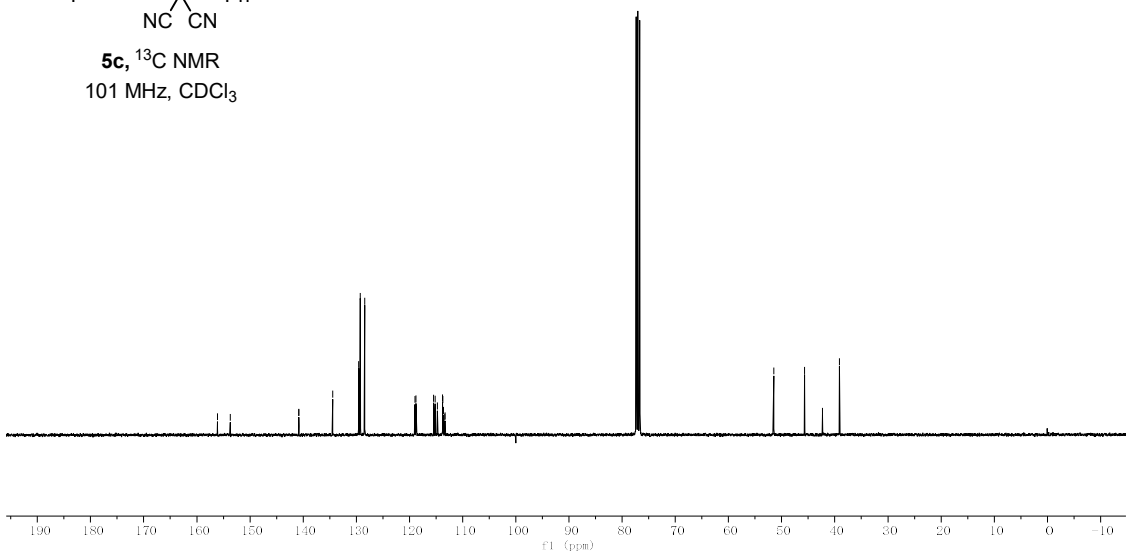


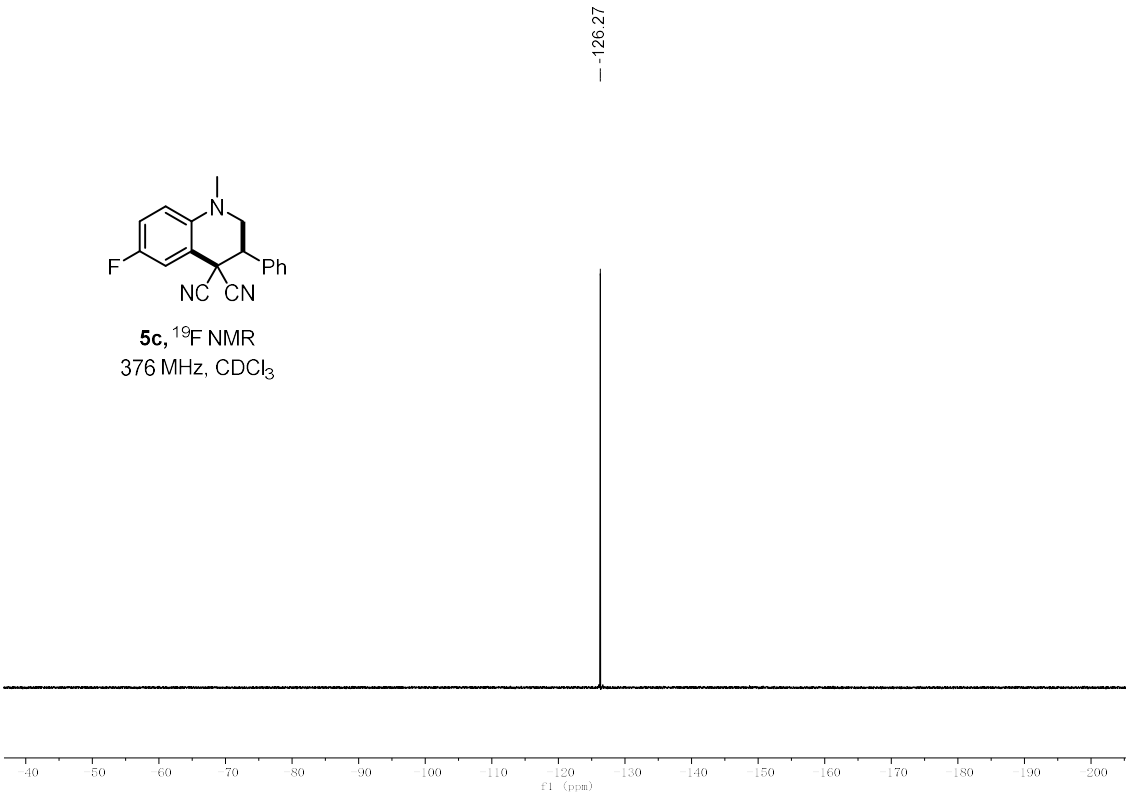
5c, ^{1}H NMR
400 MHz, $CDCl_3$



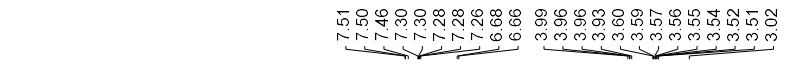


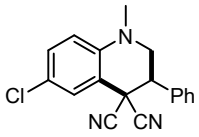
5c, ^{13}C NMR
101 MHz, $CDCl_3$



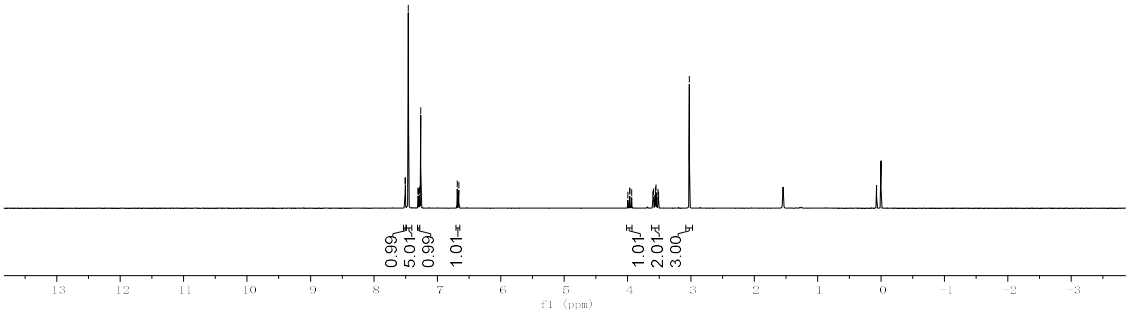


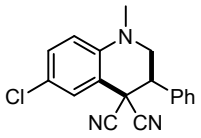
5c, ^{19}F NMR
376 MHz, $CDCl_3$



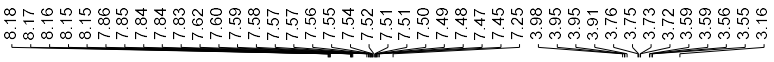


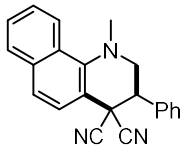
5d, ^{1}H NMR
400 MHz, $CDCl_3$



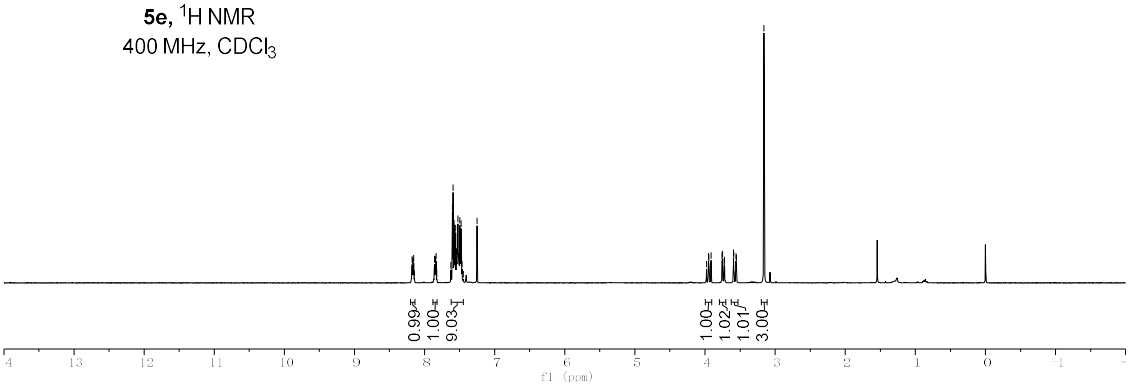


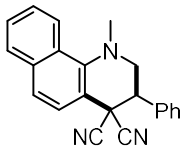
5d, ^{13}C NMR
101 MHz, $CDCl_3$



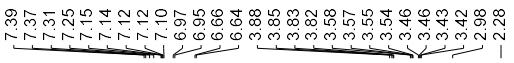


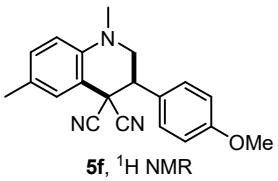
5e, ^{1}H NMR
400 MHz, $CDCl_3$



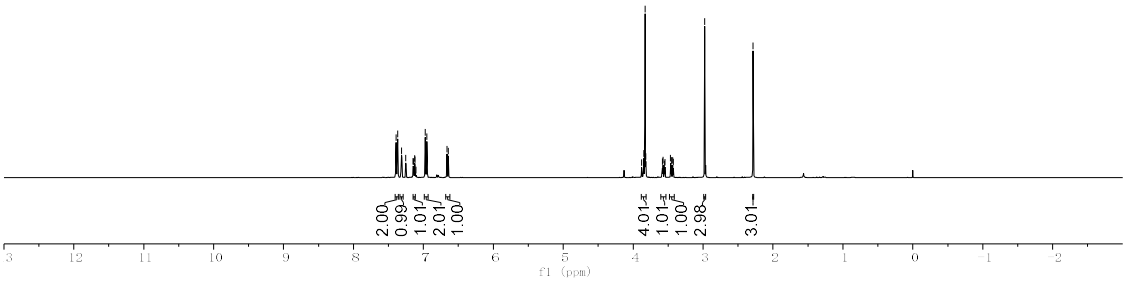


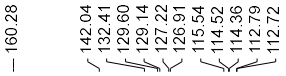
5e, ^{13}C NMR
101 MHz, $CDCl_3$

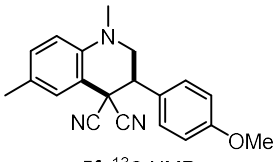




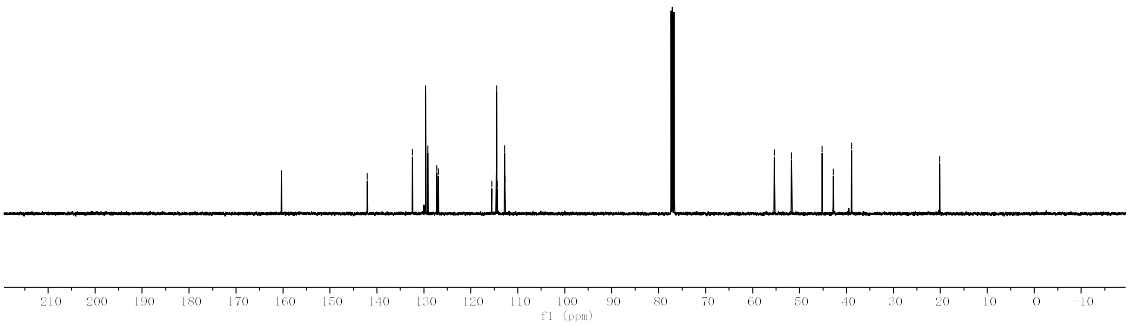
5f, ^{1}H NMR
400 MHz, $CDCl_3$

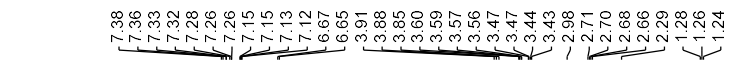


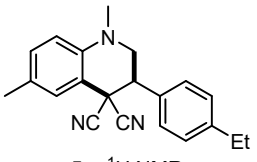




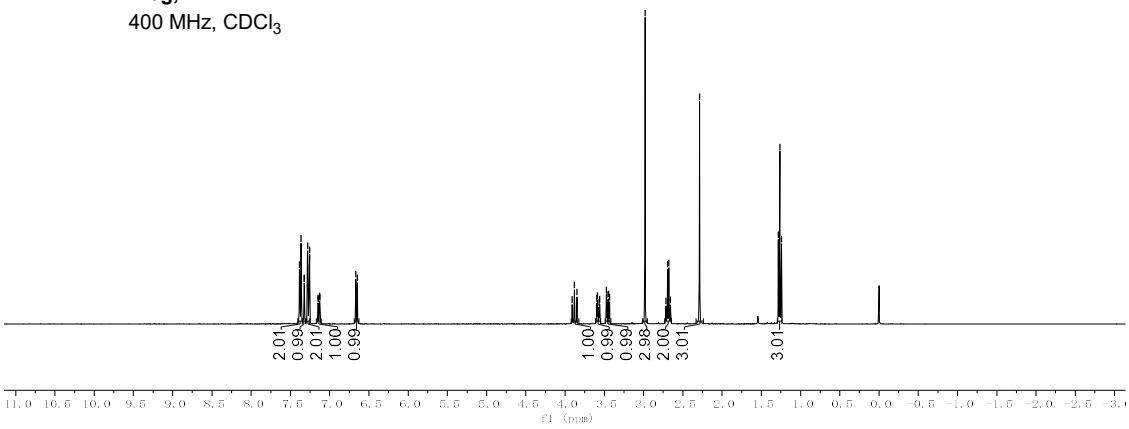
5f, ^{13}C NMR
101 MHz, $CDCl_3$

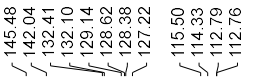


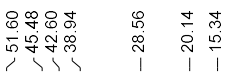


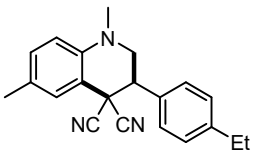


5g, ^{1}H NMR
400 MHz, $CDCl_3$

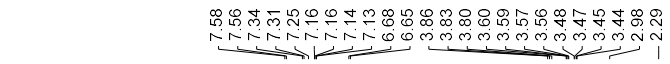


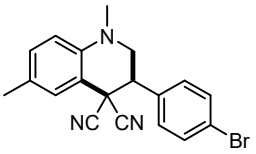




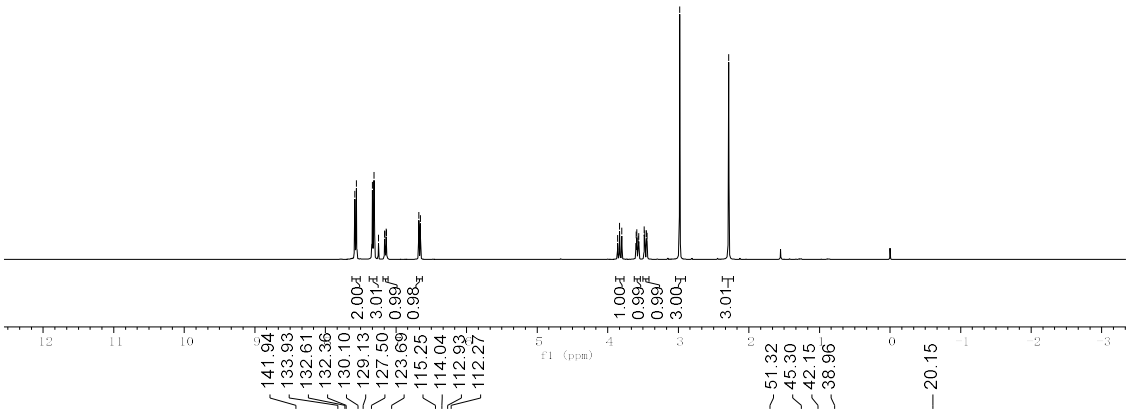


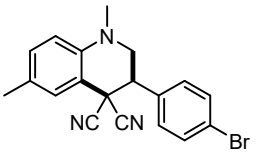
5g, ^{13}C NMR
101 MHz, $CDCl_3$



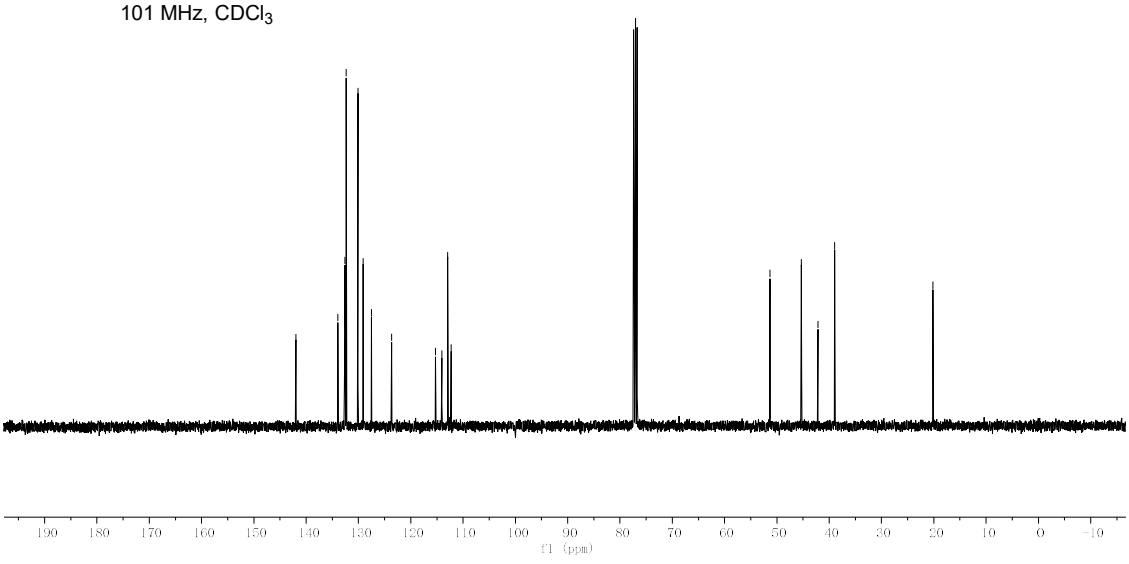


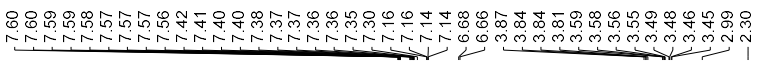
5h, ^{1}H NMR
400 MHz, $CDCl_3$

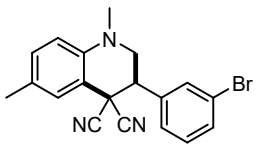




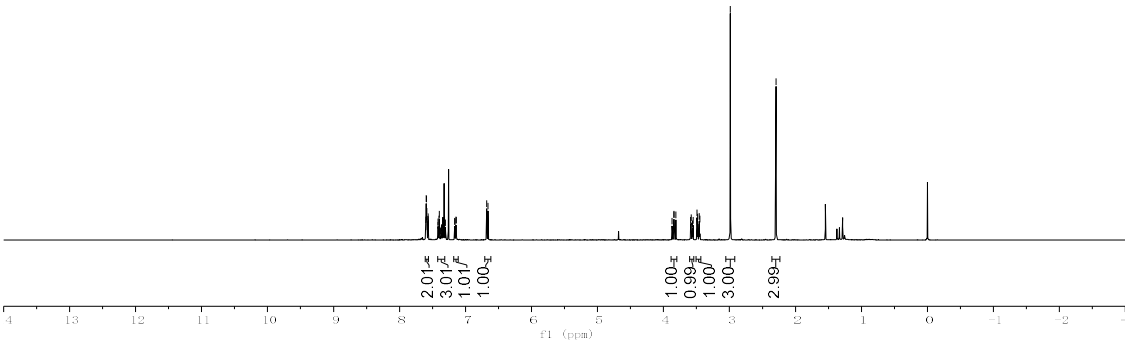
5h, ^{13}C NMR
101 MHz, $CDCl_3$

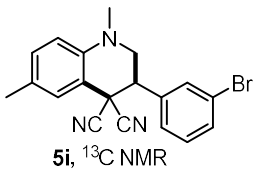




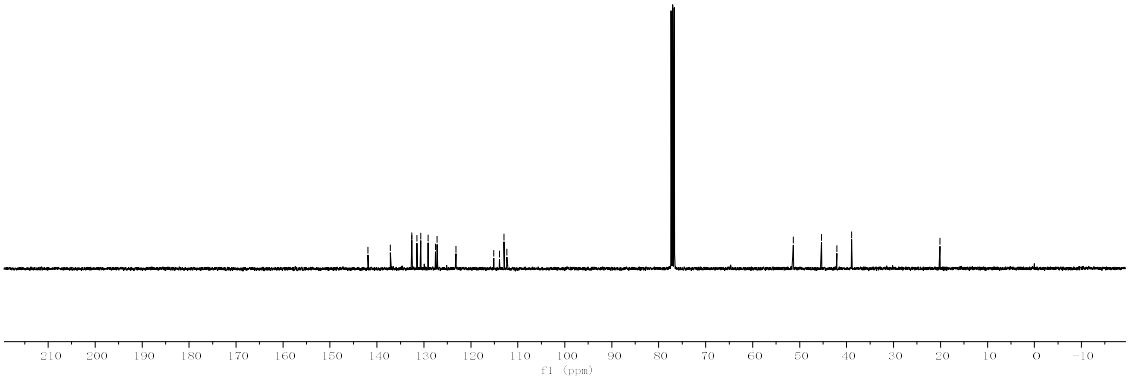


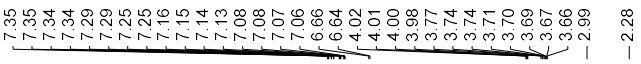
5i, ^{1}H NMR
400 MHz, $CDCl_3$

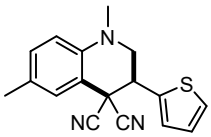




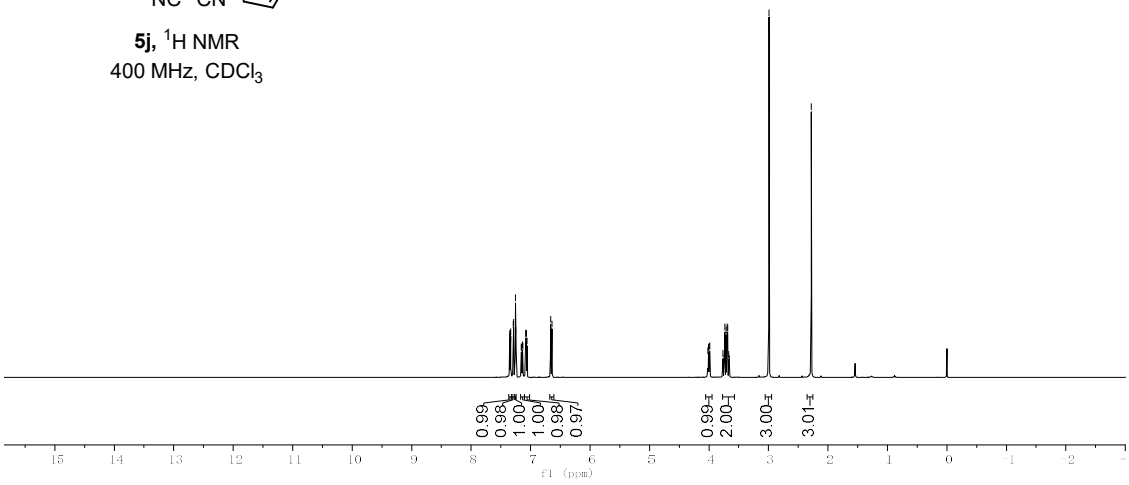
5i, ^{13}C NMR
101 MHz, $CDCl_3$

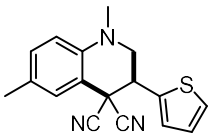




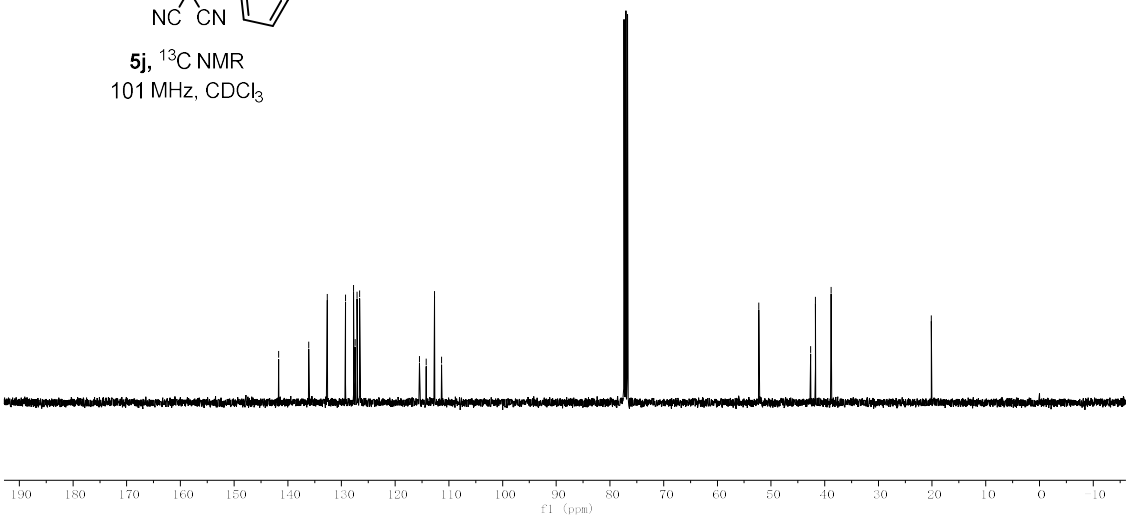


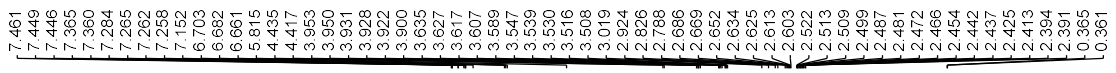
5j, ^{1}H NMR
400 MHz, $CDCl_3$

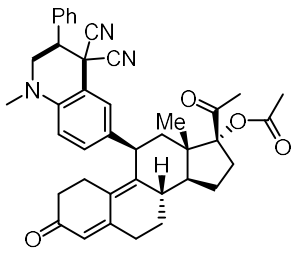




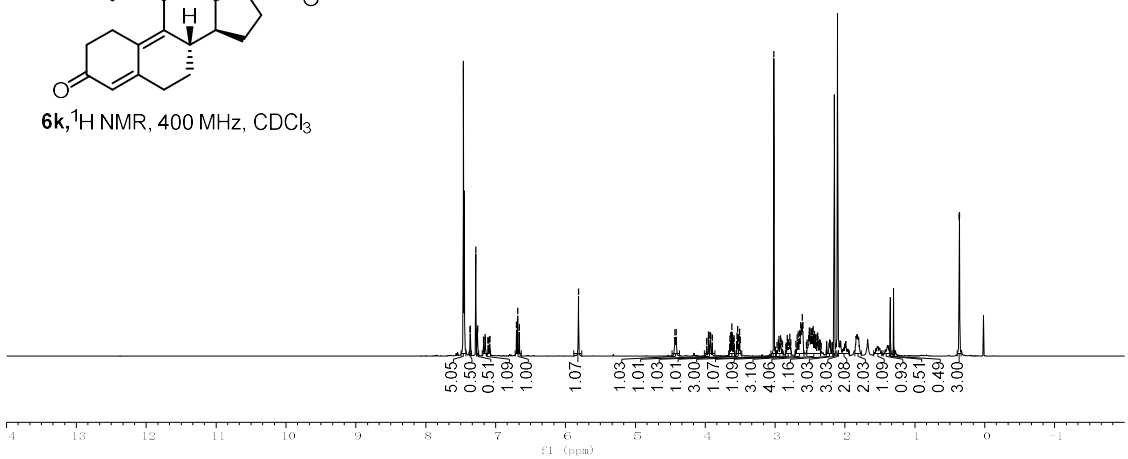
5j, ^{13}C NMR
101 MHz, $CDCl_3$

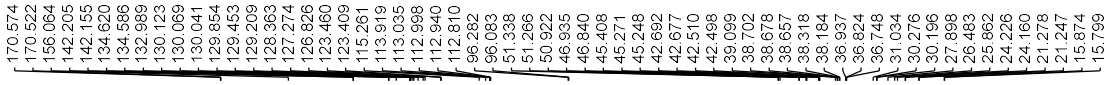


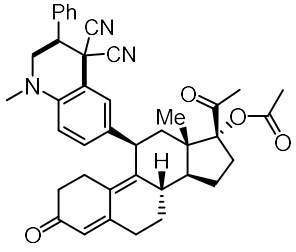




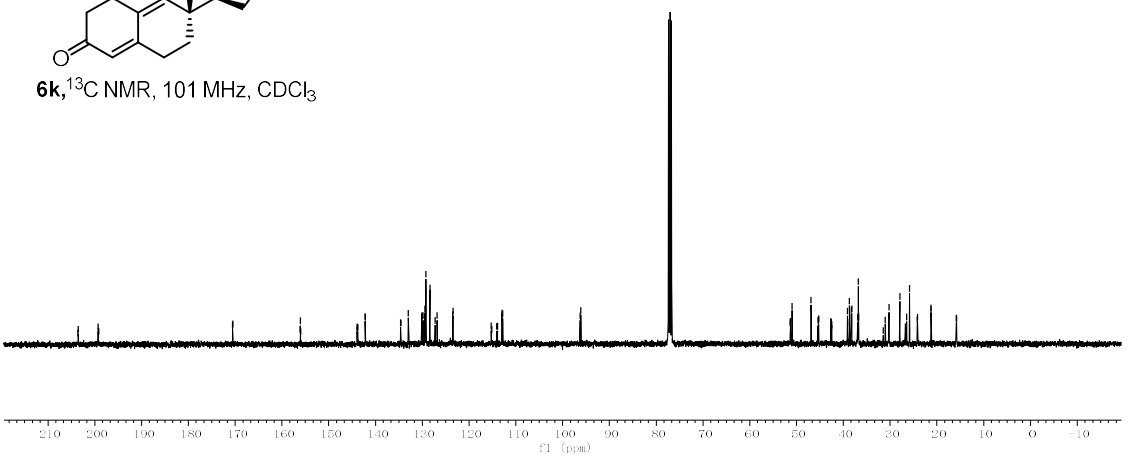
6k, ^{1}H NMR, 400 MHz, $CDCl_3$

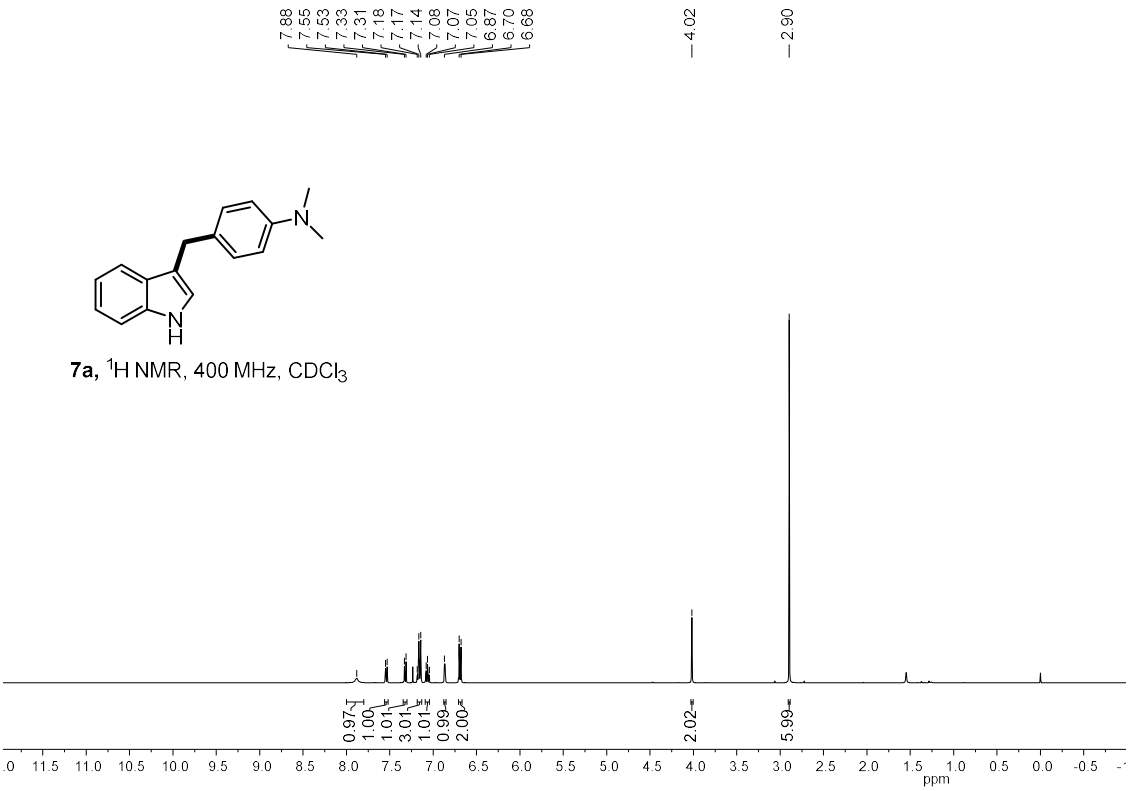




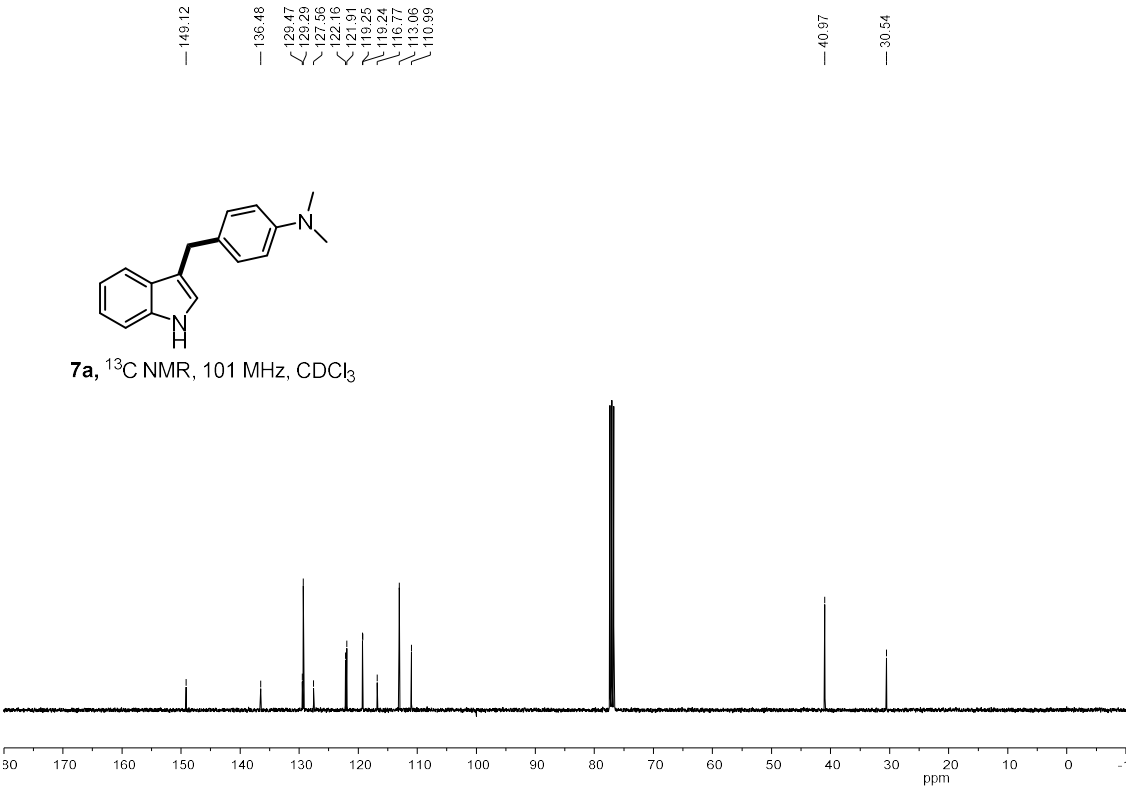


6k, ^{13}C NMR, 101 MHz, $CDCl_3$

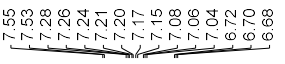


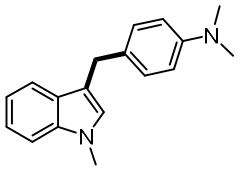


7a, ^{1}H NMR, 400 MHz, $CDCl_3$

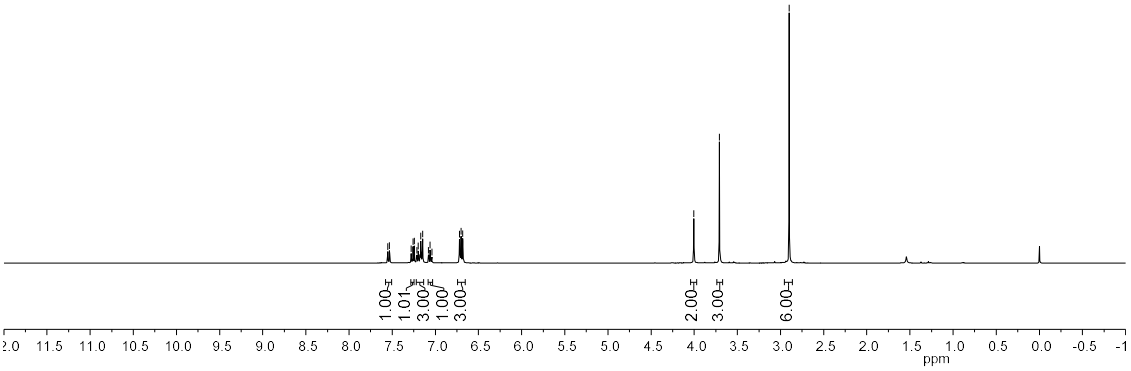


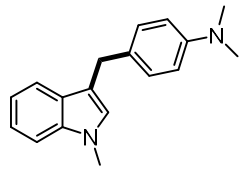
7a, ^{13}C NMR, 101 MHz, $CDCl_3$



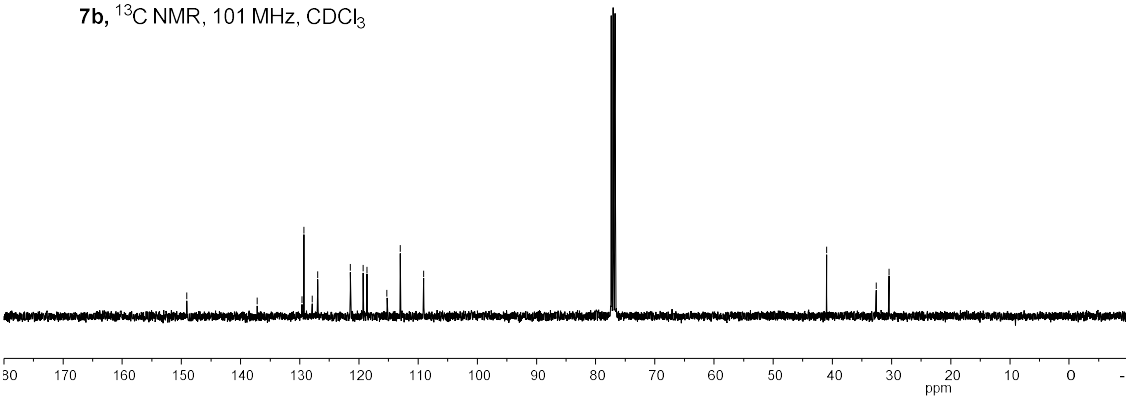


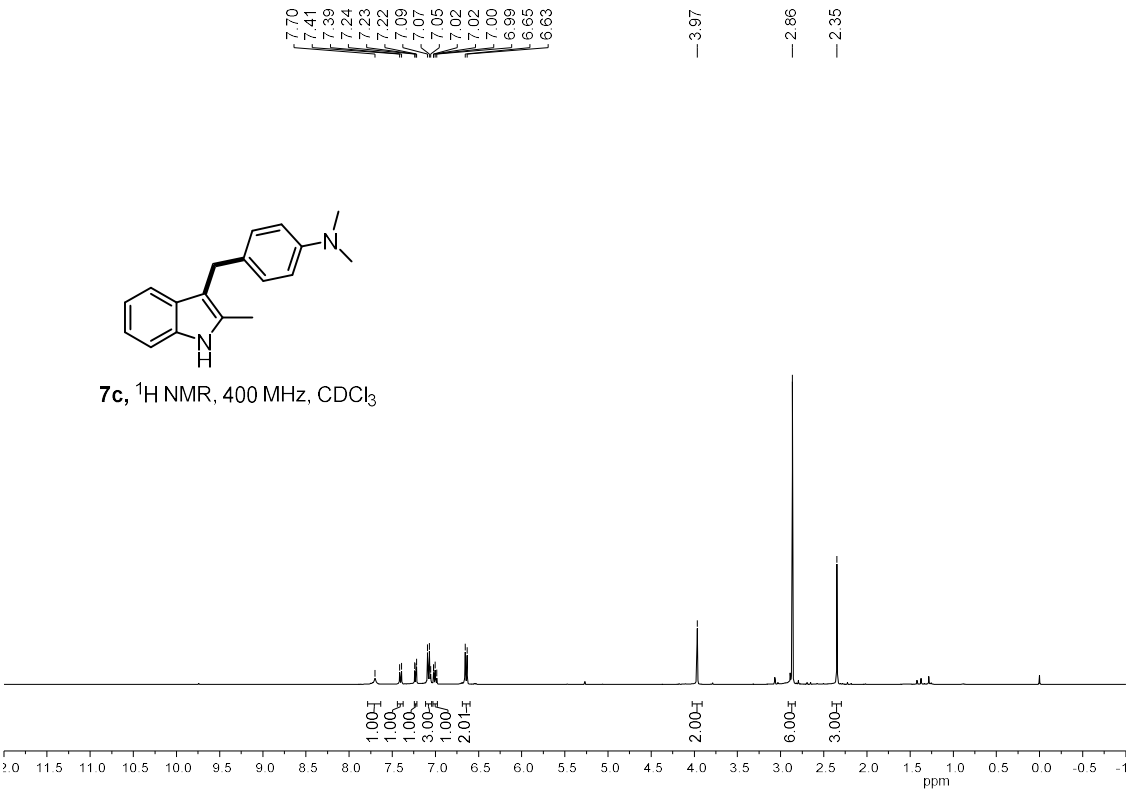
7b, ^{1}H NMR, 400 MHz, $CDCl_3$



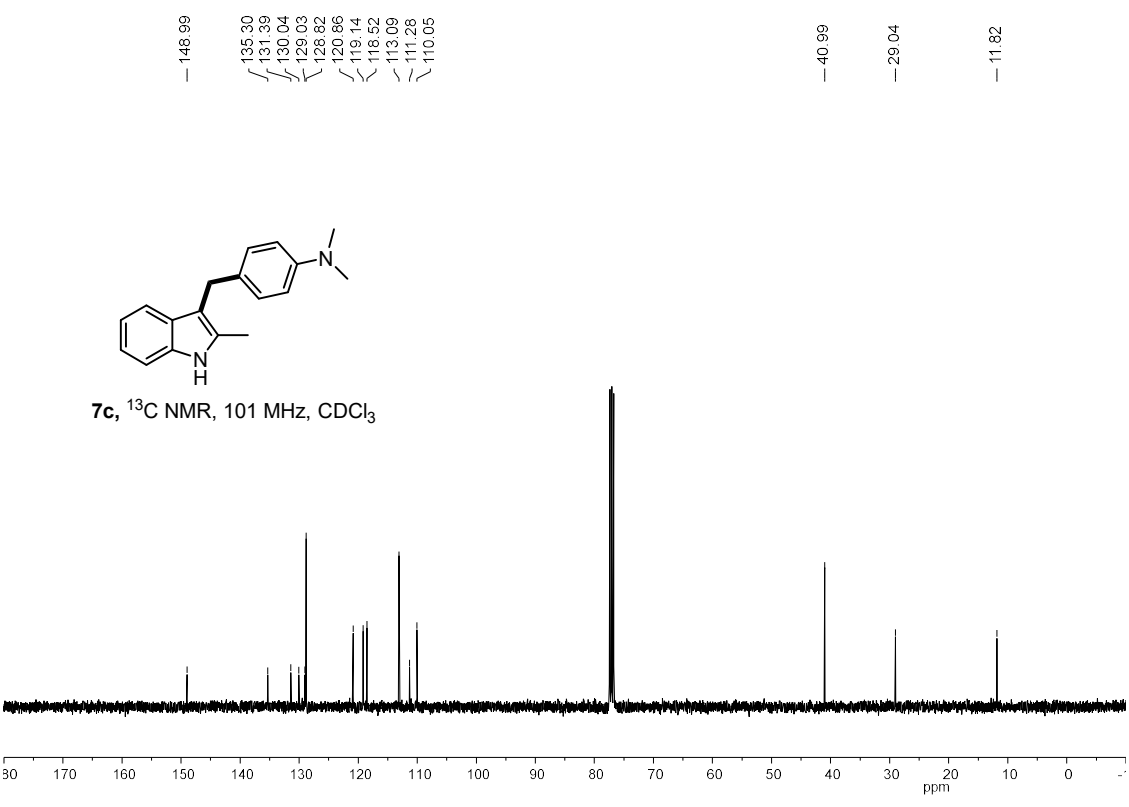


7b, ^{13}C NMR, 101 MHz, $CDCl_3$

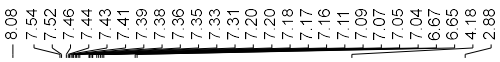


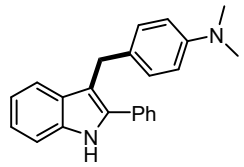


7c, ^{1}H NMR, 400 MHz, $CDCl_3$

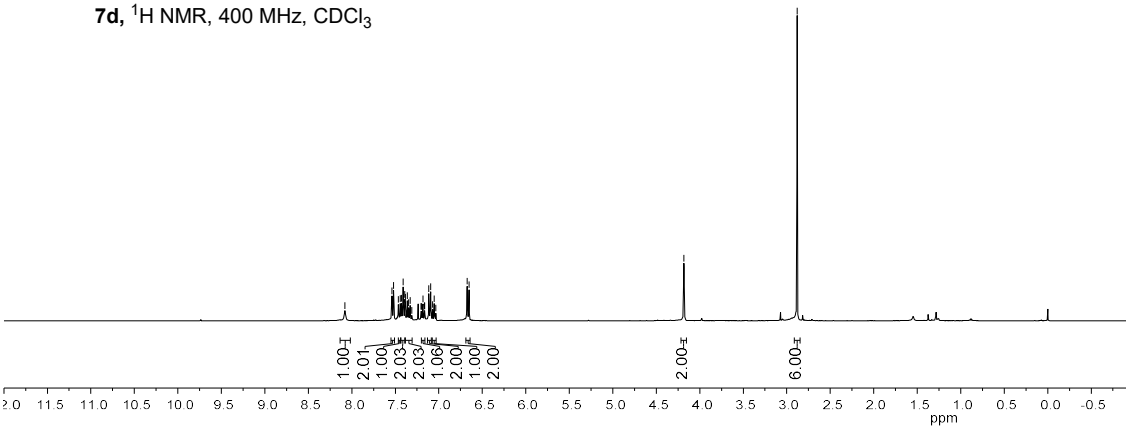


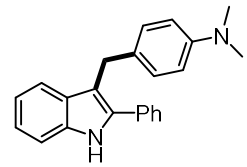
7c, ^{13}C NMR, 101 MHz, $CDCl_3$



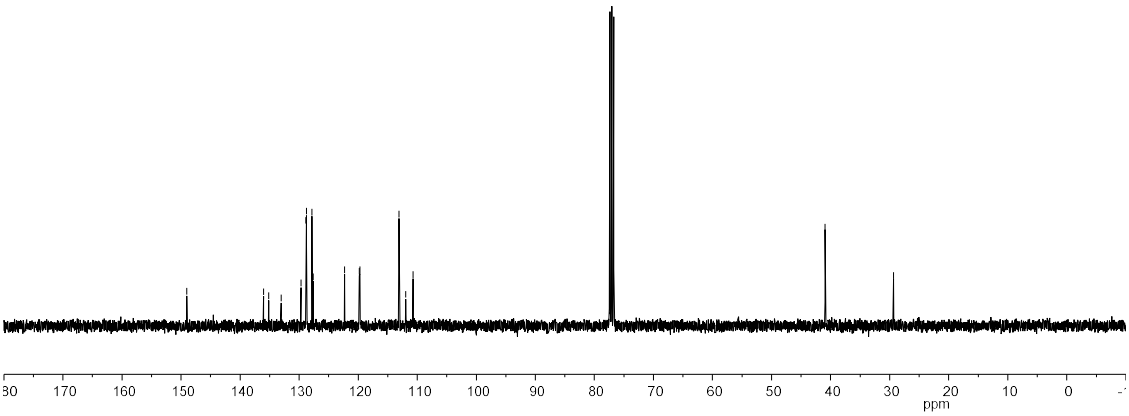


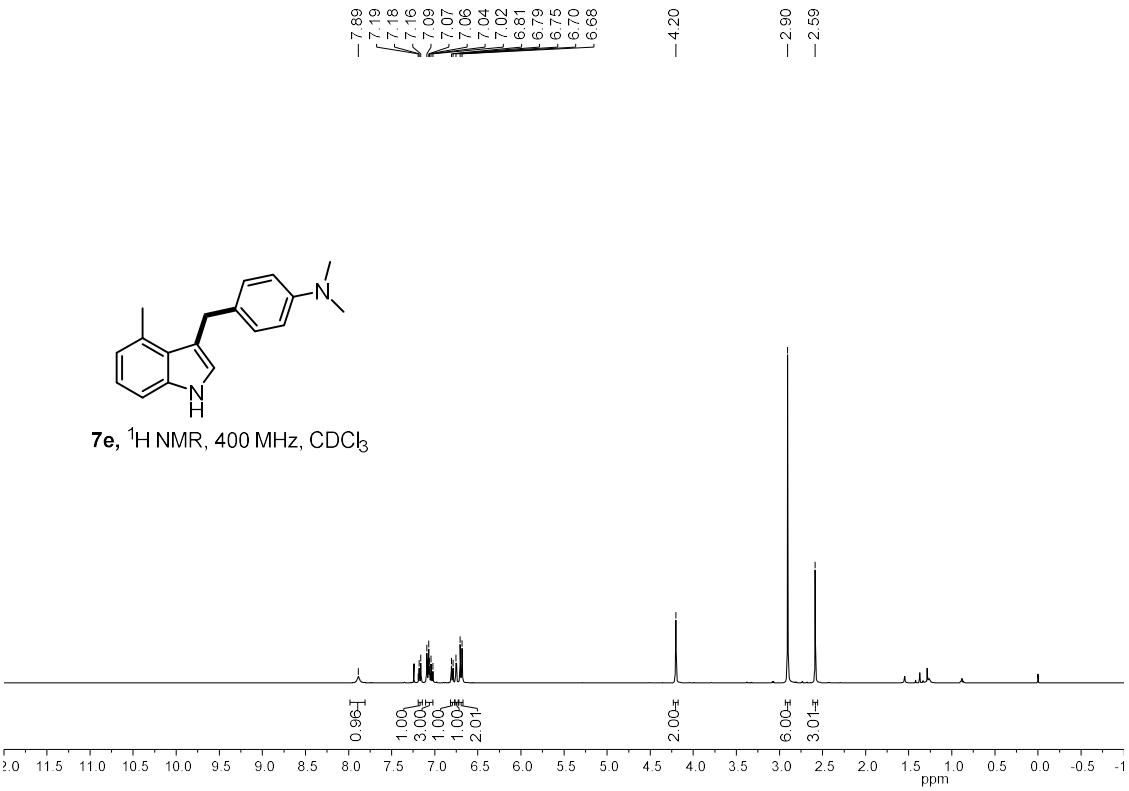
7d, ^{1}H NMR, 400 MHz, $CDCl_3$



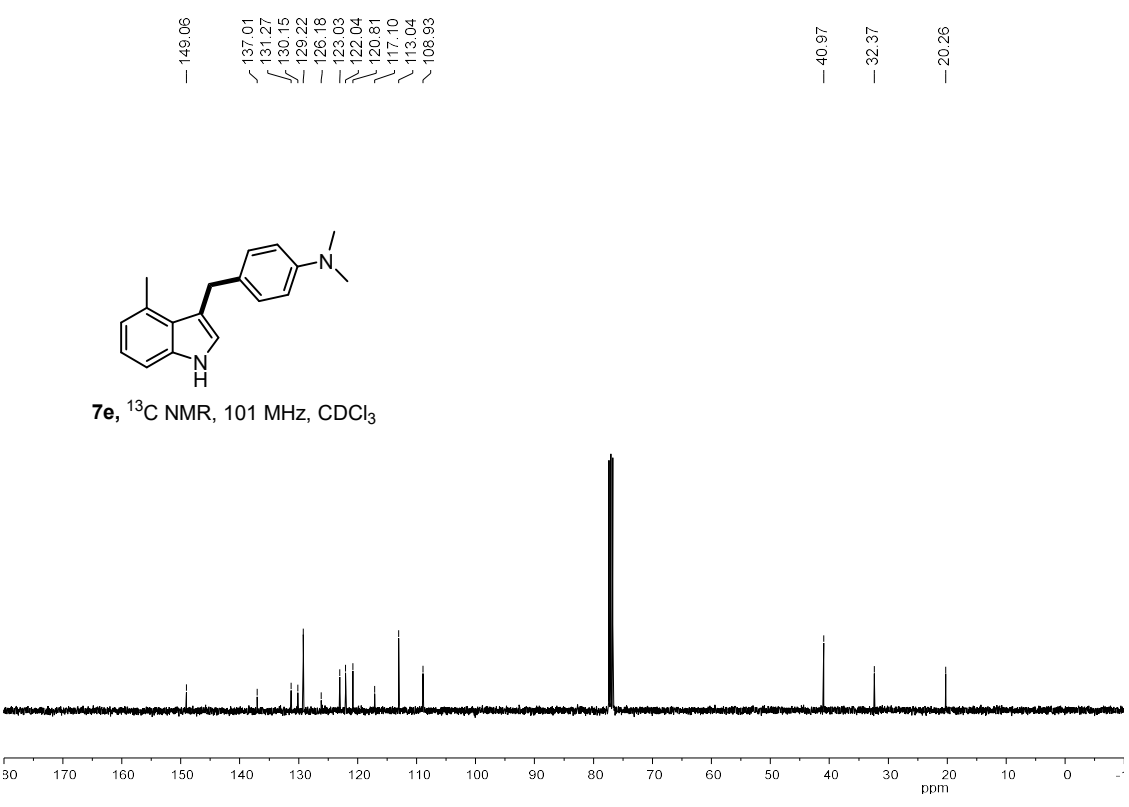


7d, ^{13}C NMR, 101 MHz, $CDCl_3$

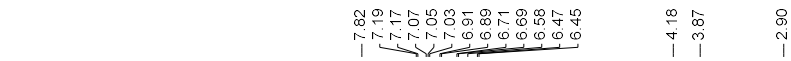


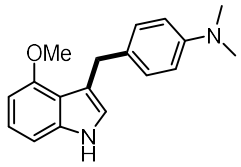


7e, ^{1}H NMR, 400 MHz, $CDCl_3$

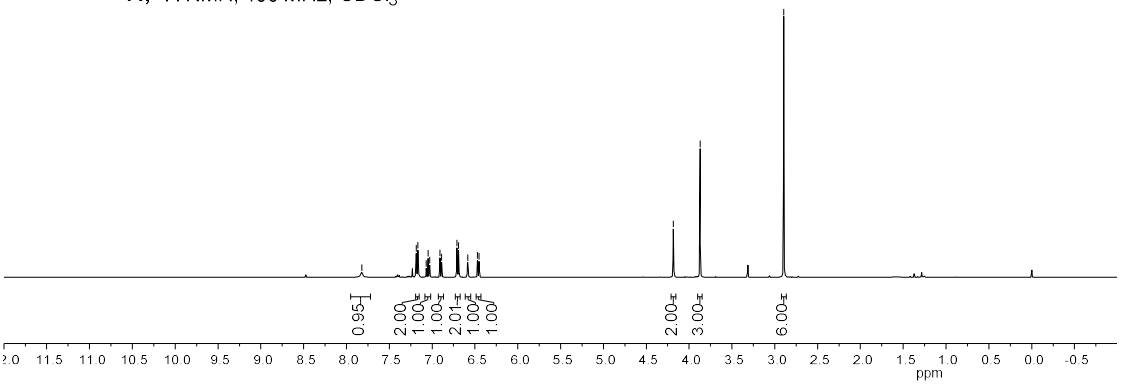


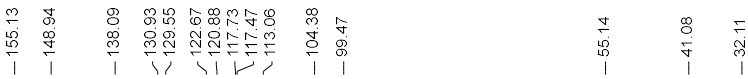
7e, ^{13}C NMR, 101 MHz, $CDCl_3$

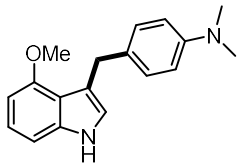




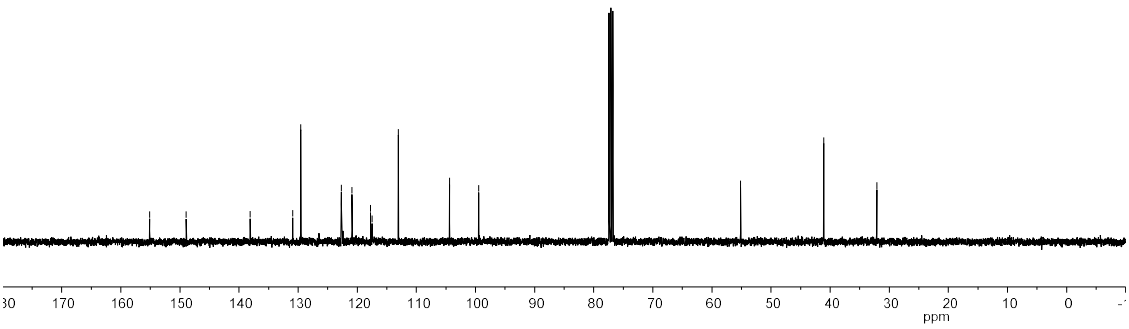
7f, ^{1}H NMR, 400 MHz, $CDCl_3$

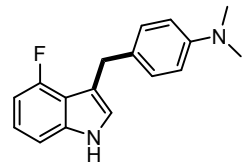




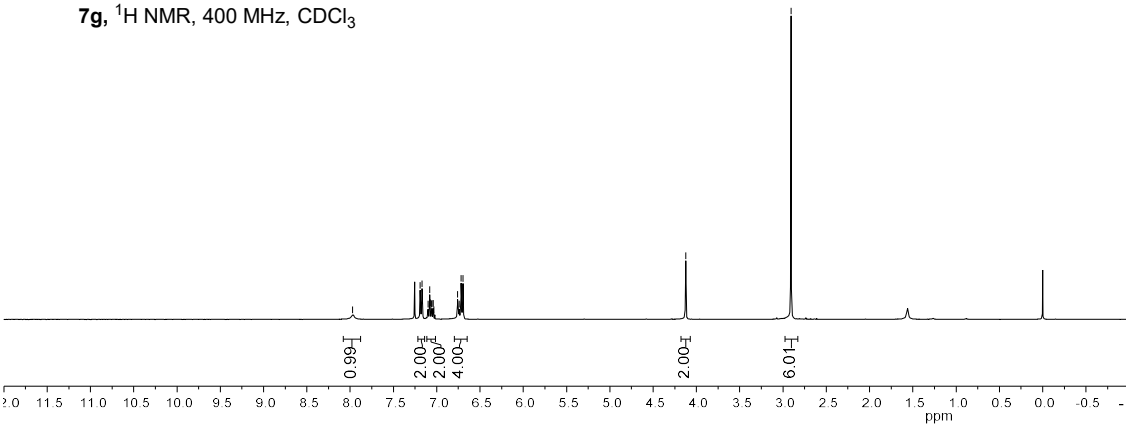


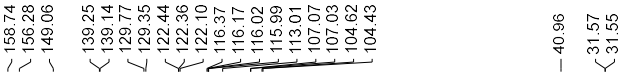
7f, ^{13}C NMR, 101 MHz, $CDCl_3$

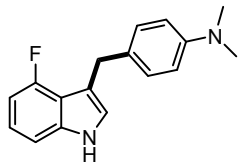




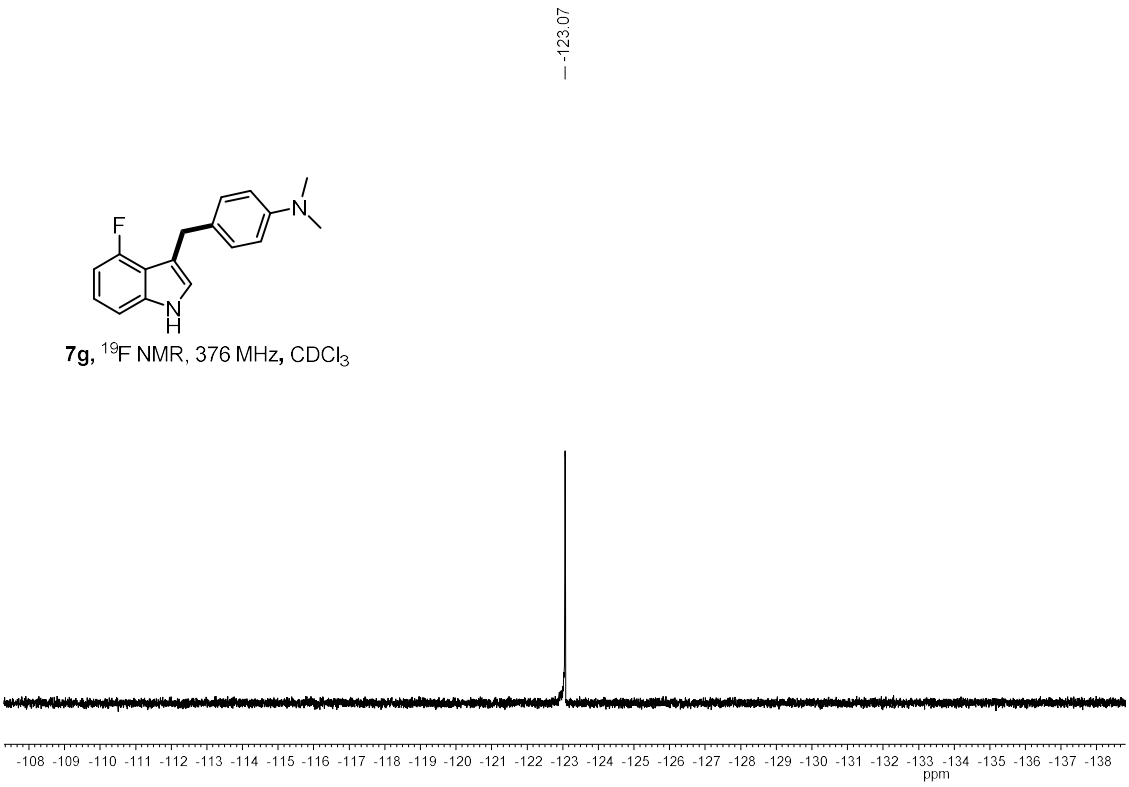
7g, ^{1}H NMR, 400 MHz, $CDCl_3$



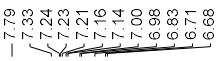


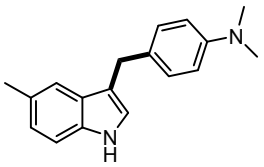


7g, ^{13}C NMR, 101 MHz, $CDCl_3$

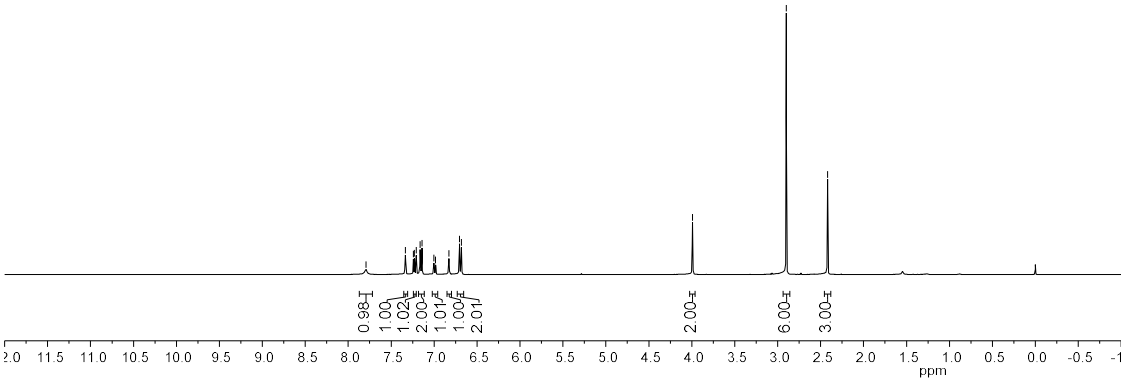


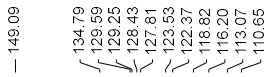
7g, ^{19}F NMR, 376 MHz**,** $CDCl_3$

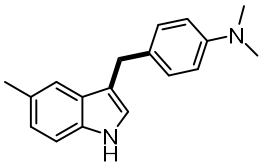




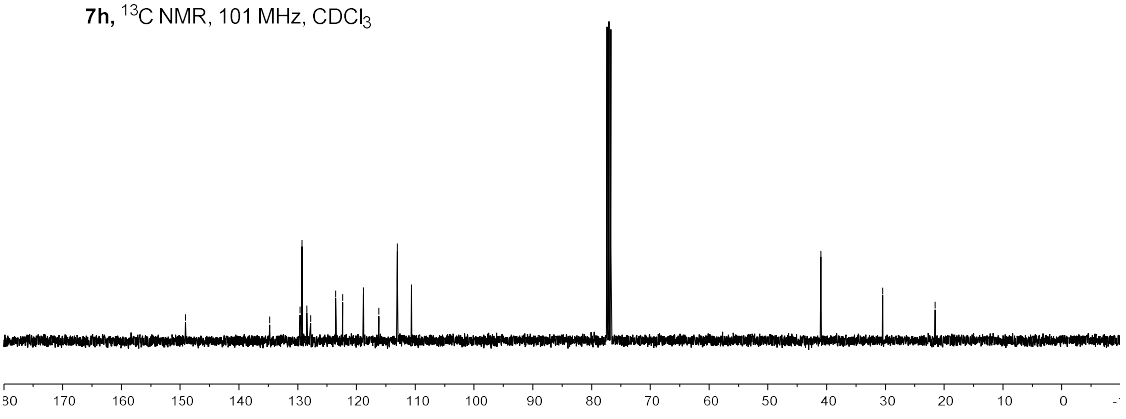
7h, ^{1}H NMR, 400 MHz, $CDCl_3$

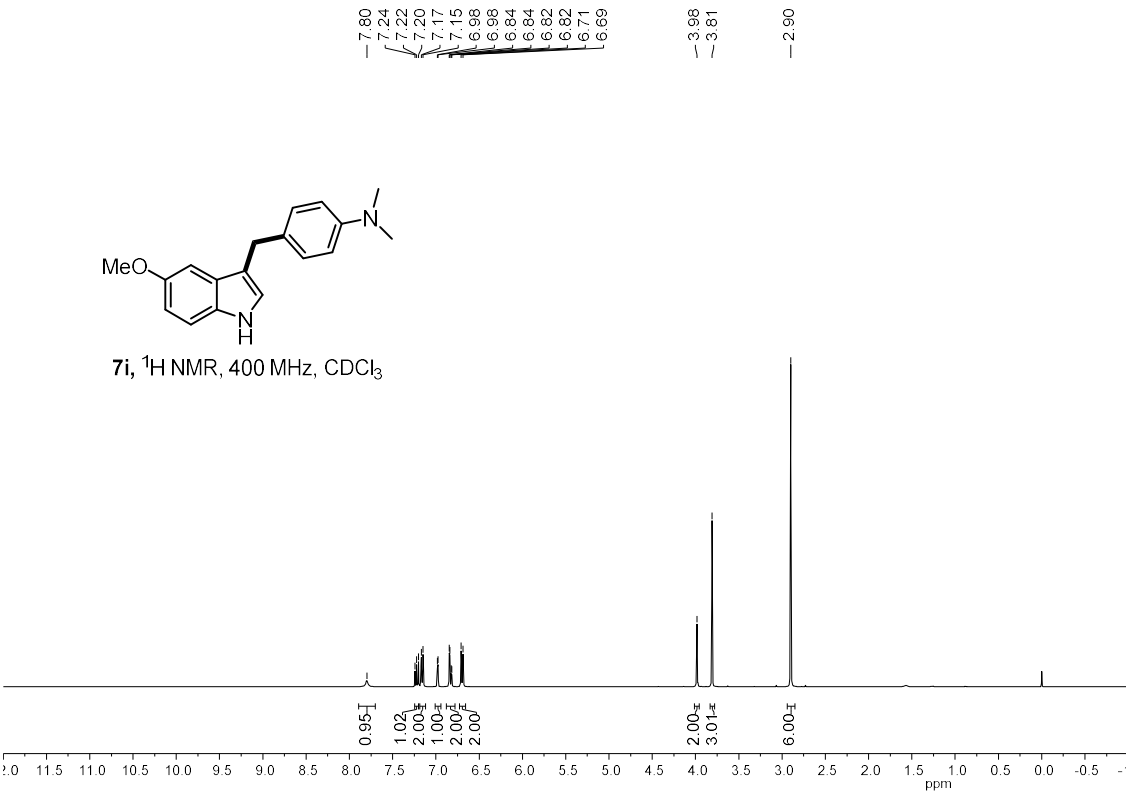




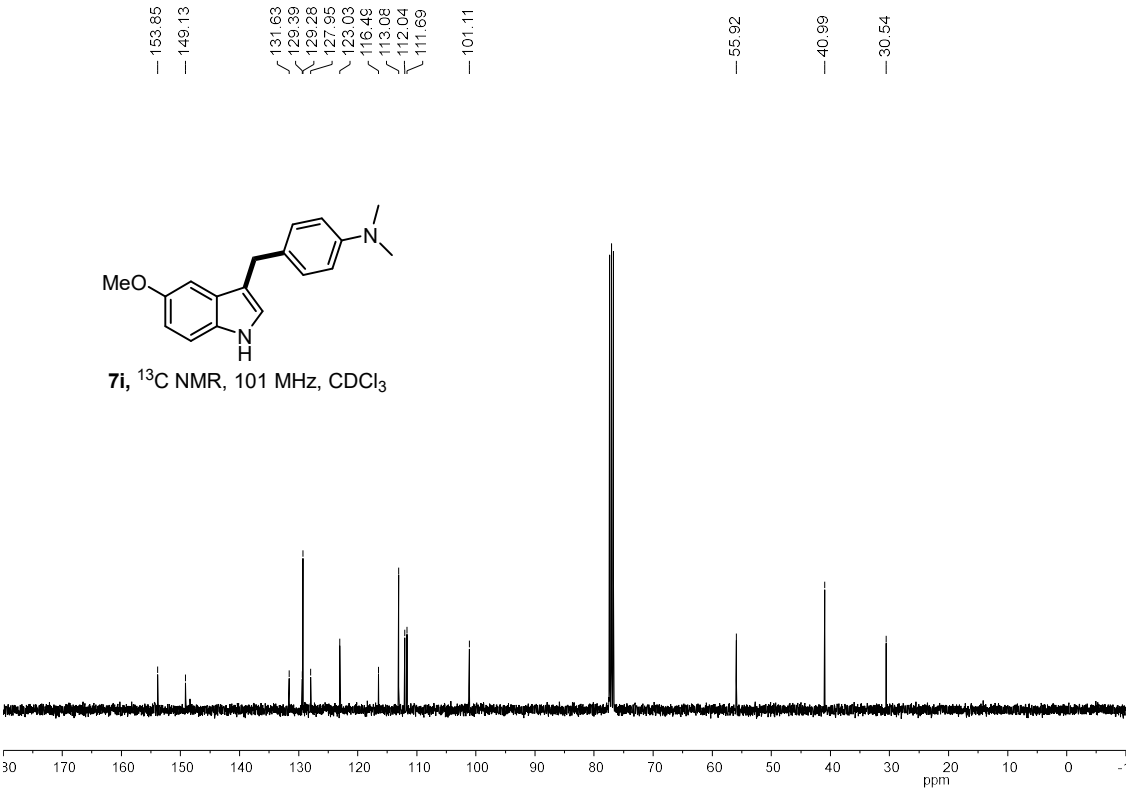


7h, ^{13}C NMR, 101 MHz, $CDCl_3$

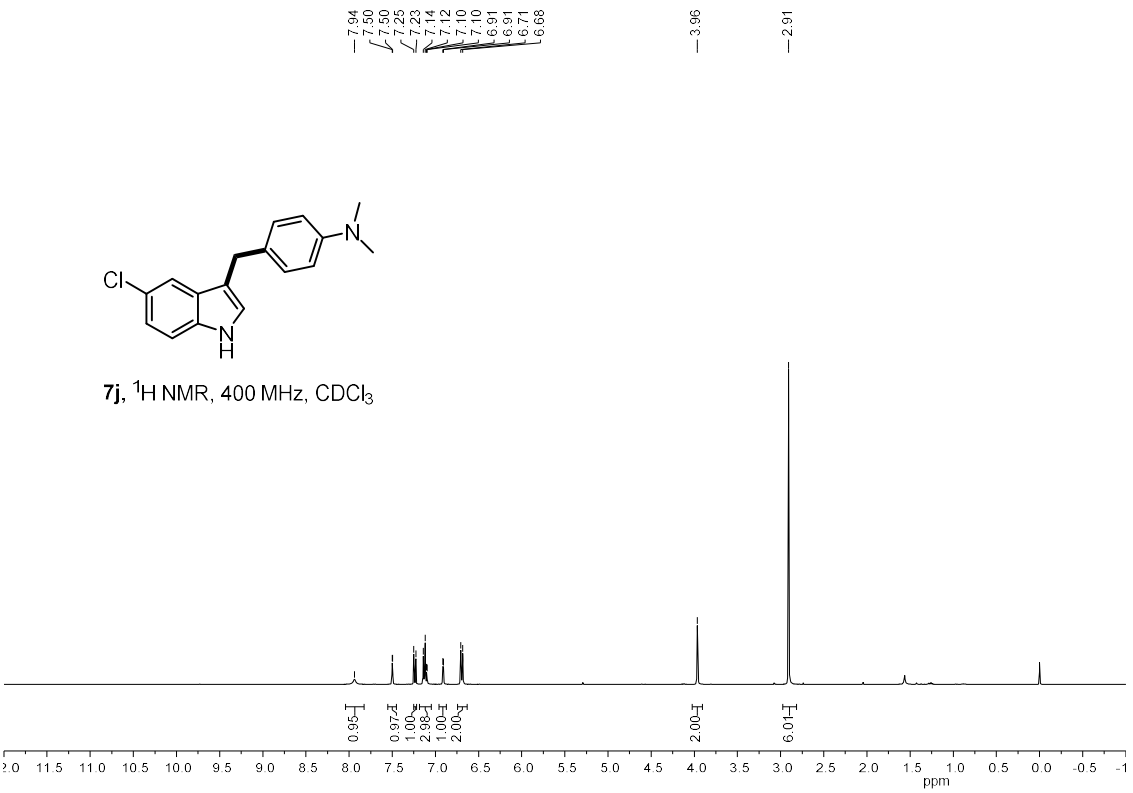




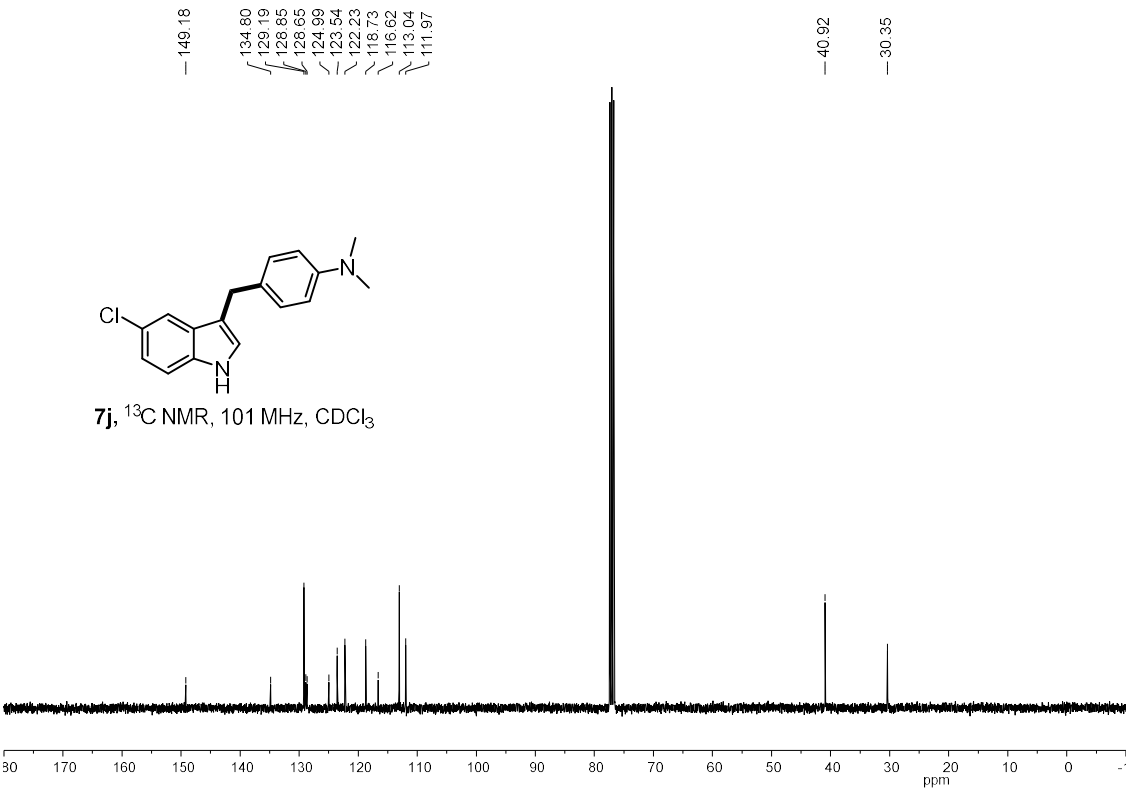
7i, ^{1}H NMR, 400 MHz, $CDCl_3$



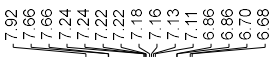
7i, ^{13}C NMR, 101 MHz, $CDCl_3$

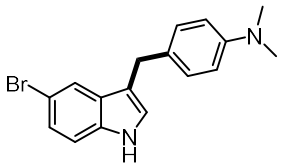


7j, ^{1}H NMR, 400 MHz, $CDCl_3$

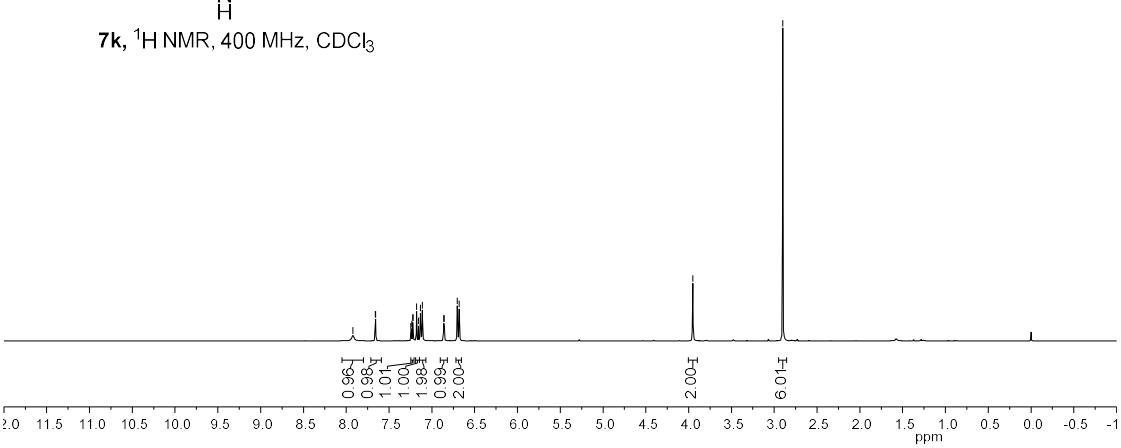


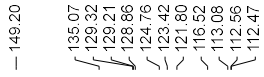
7j, ^{13}C NMR, 101 MHz, $CDCl_3$

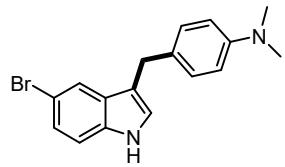




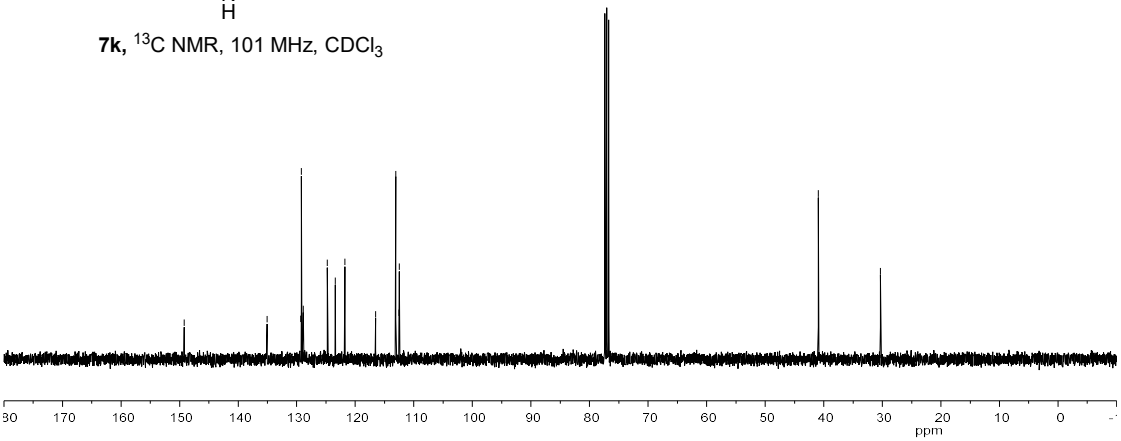
7k, ^{1}H NMR, 400 MHz, $CDCl_3$

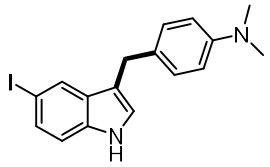




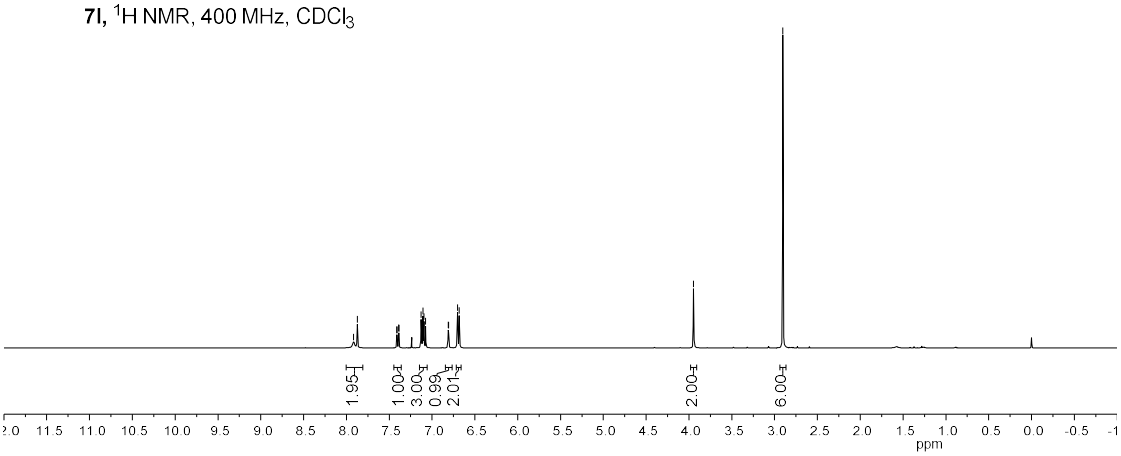


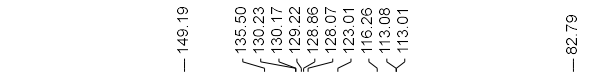
7k, ^{13}C NMR, 101 MHz, $CDCl_3$

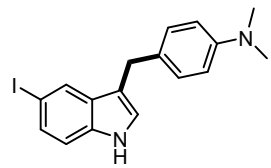




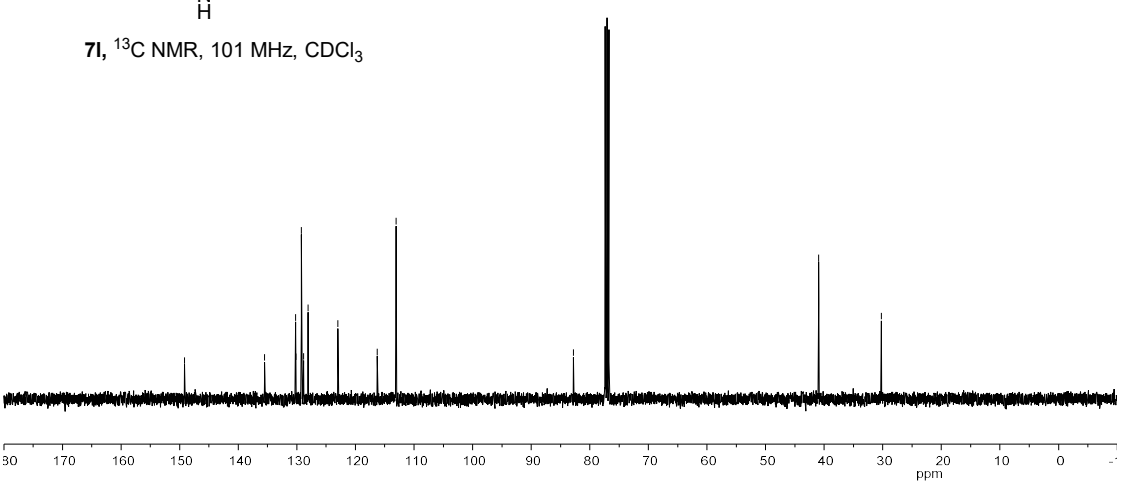
7l, ^{1}H NMR, 400 MHz, $CDCl_3$

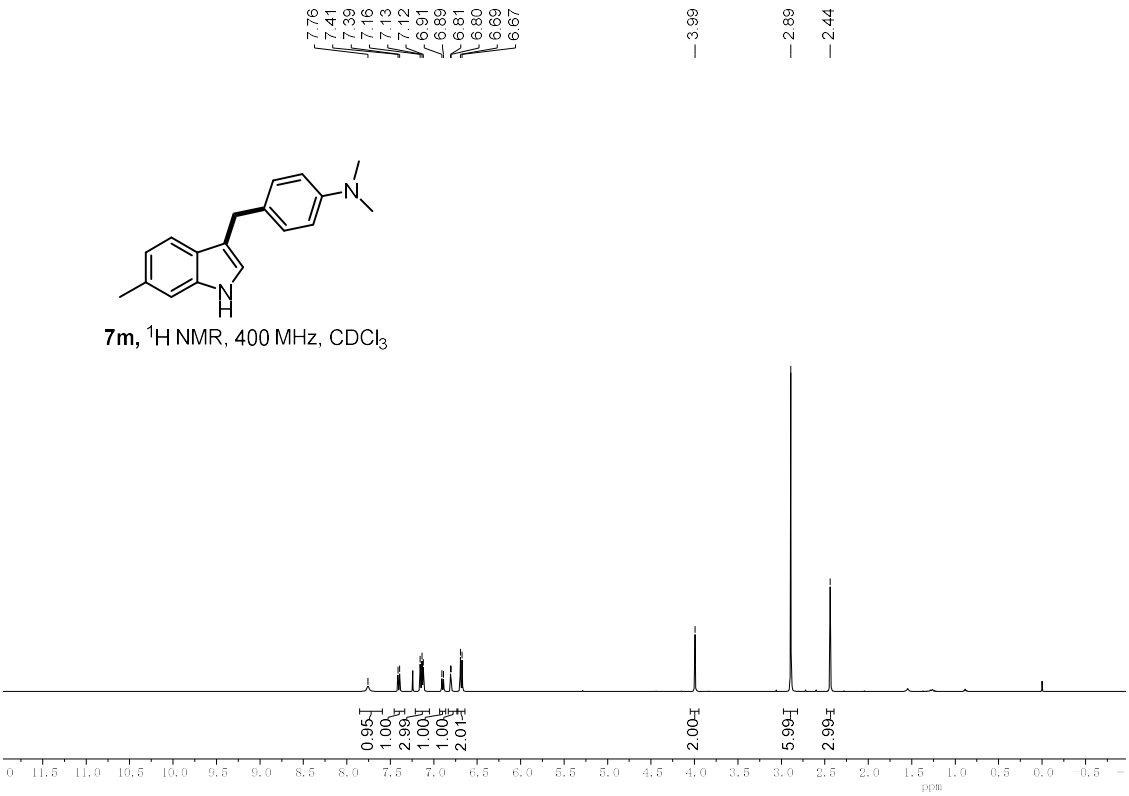




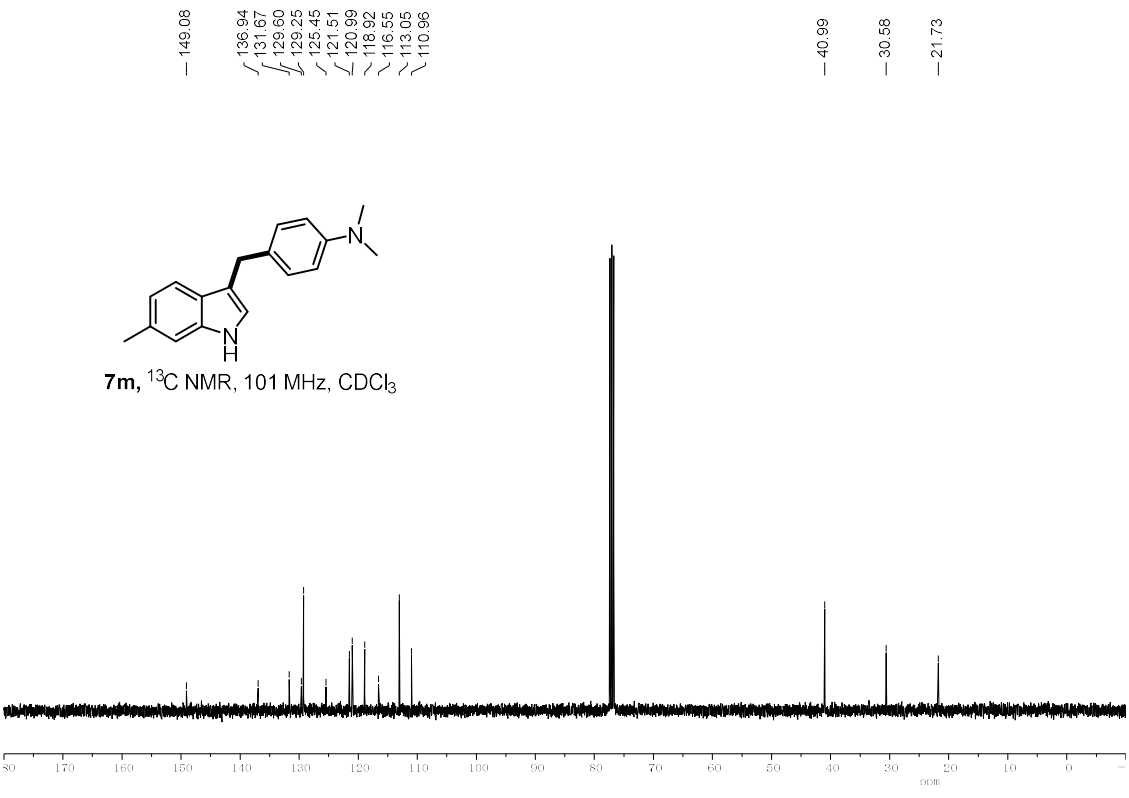


7l, ^{13}C NMR, 101 MHz, $CDCl_3$

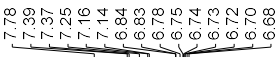


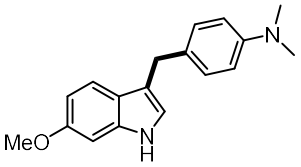


7m, ^{1}H NMR, 400 MHz, $CDCl_3$

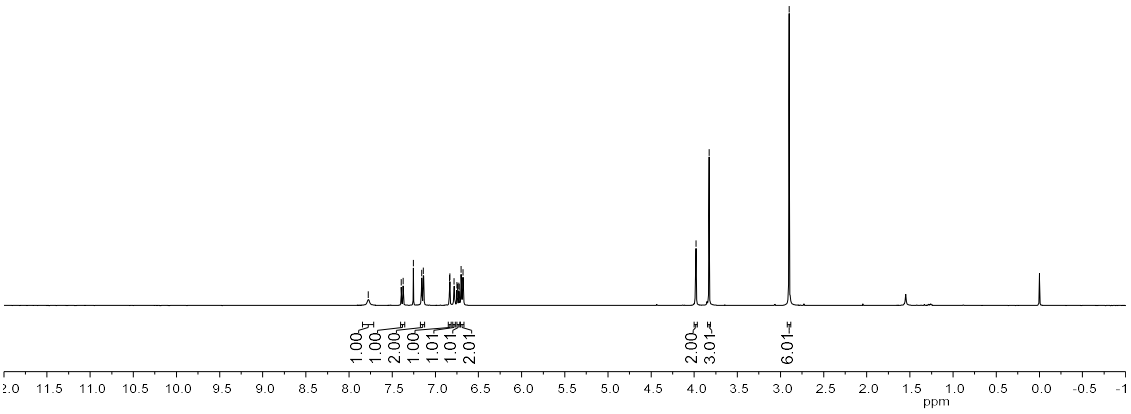


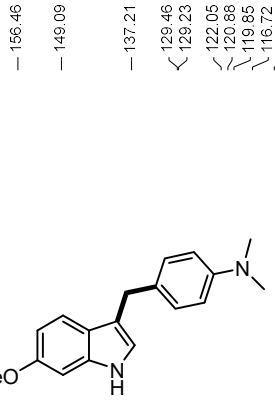
7m, ^{13}C NMR, 101 MHz, $CDCl_3$



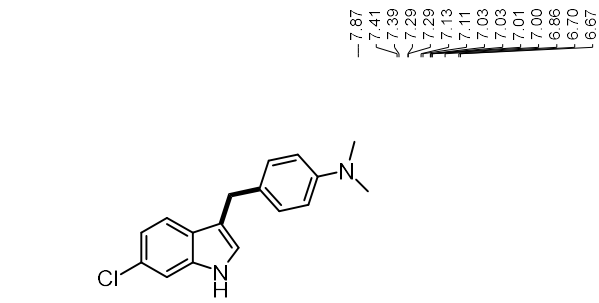


7n, ^{1}H NMR, 400 MHz, $CDCl_3$

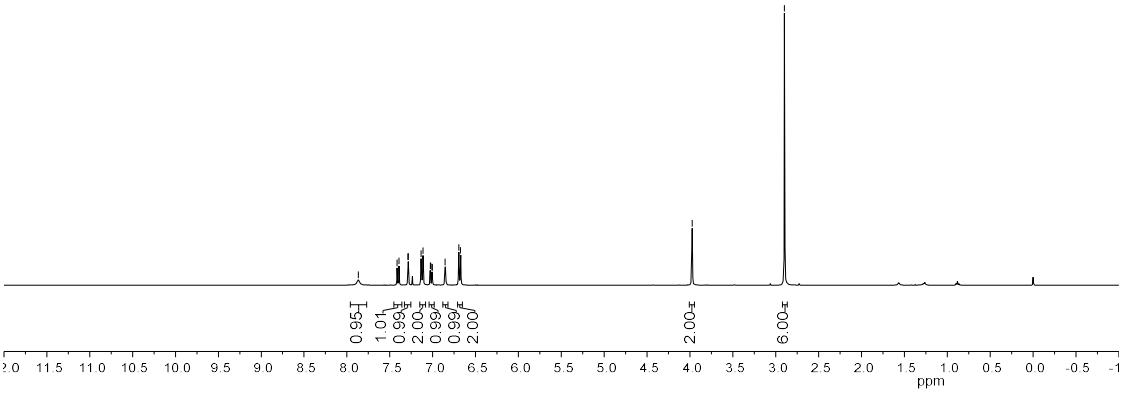


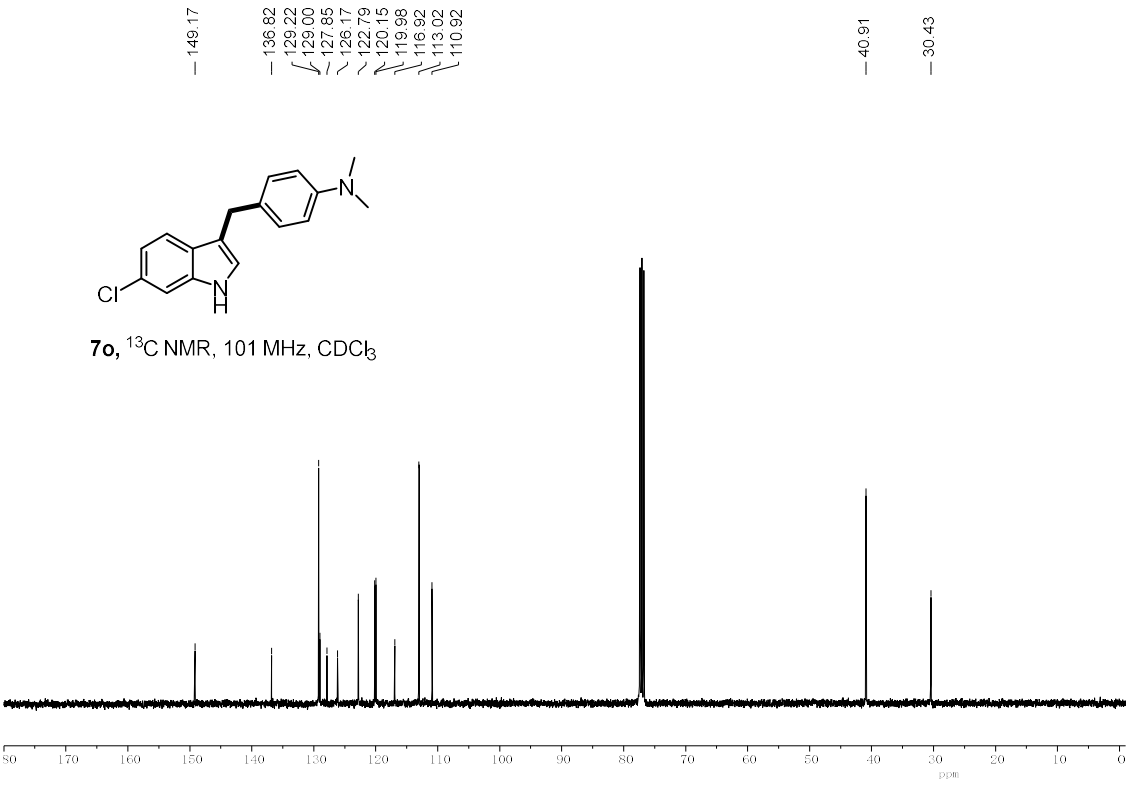


7n, ^{13}C NMR, 101 MHz, $CDCl_3$

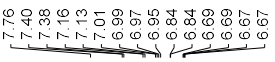


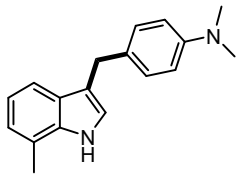
7o, ^{1}H NMR, 400 MHz, $CDCl_3$



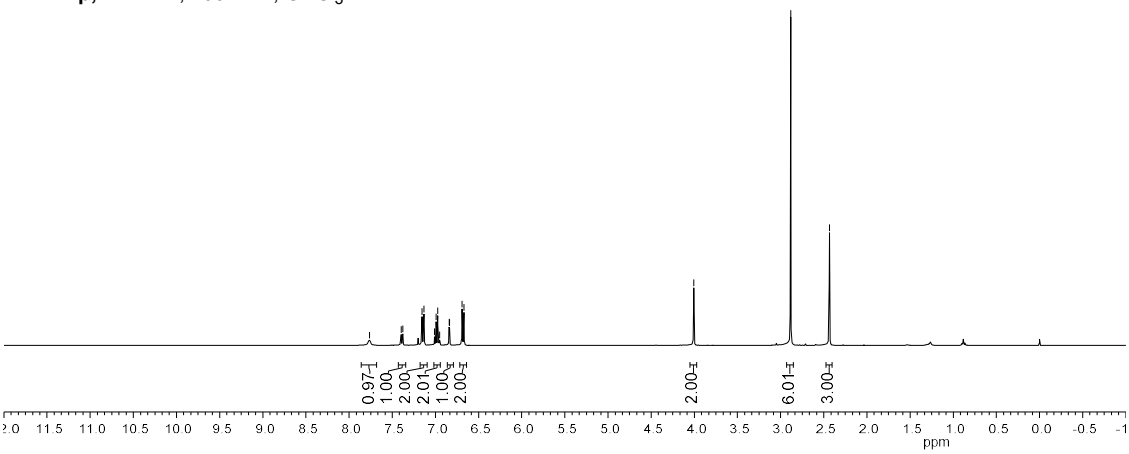


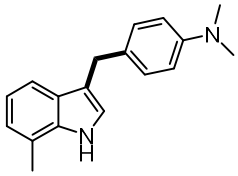
7o, ^{13}C NMR, 101 MHz, $CDCl_3$



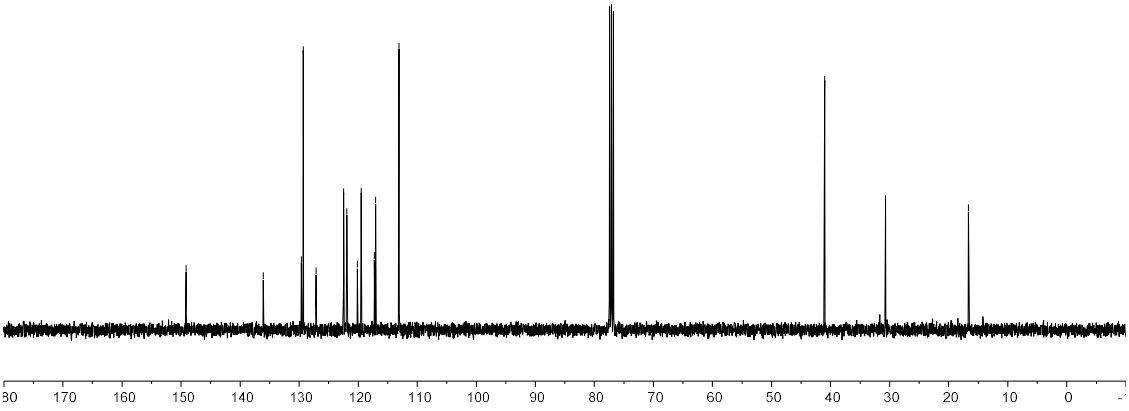


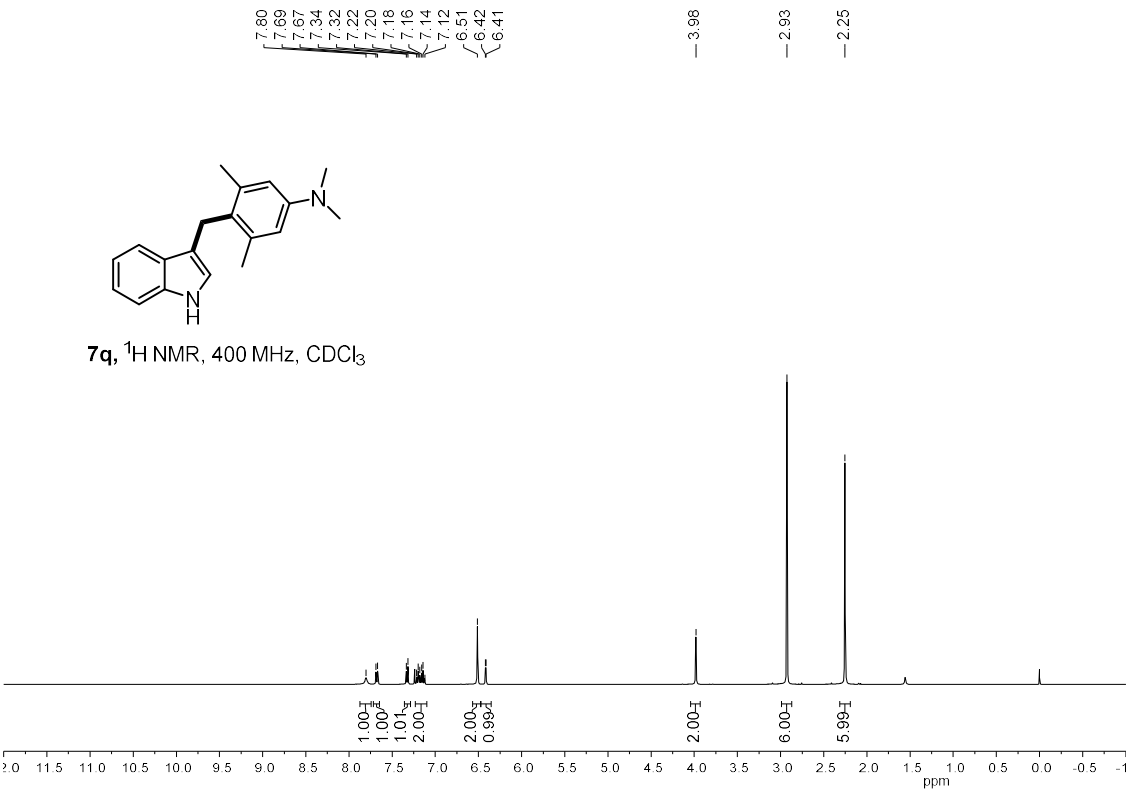
7p, ^{1}H NMR, 400 MHz, $CDCl_3$



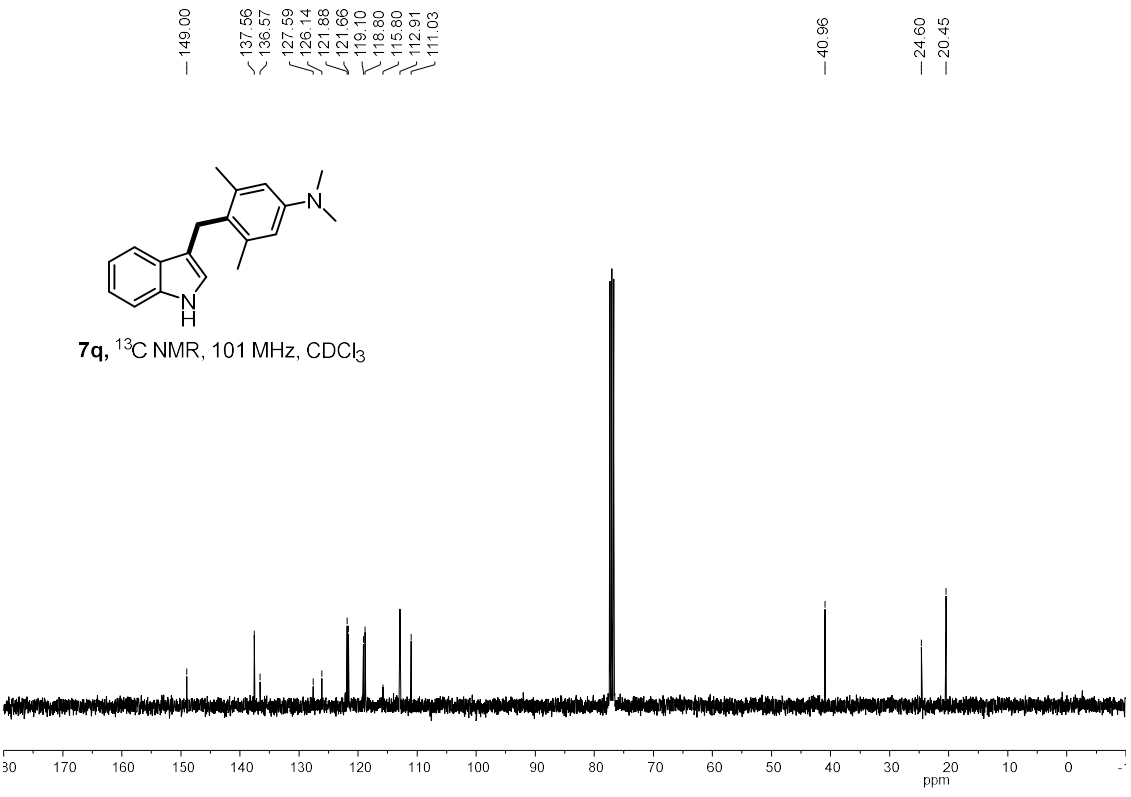


7p, ^{13}C NMR, 101 MHz, $CDCl_3$

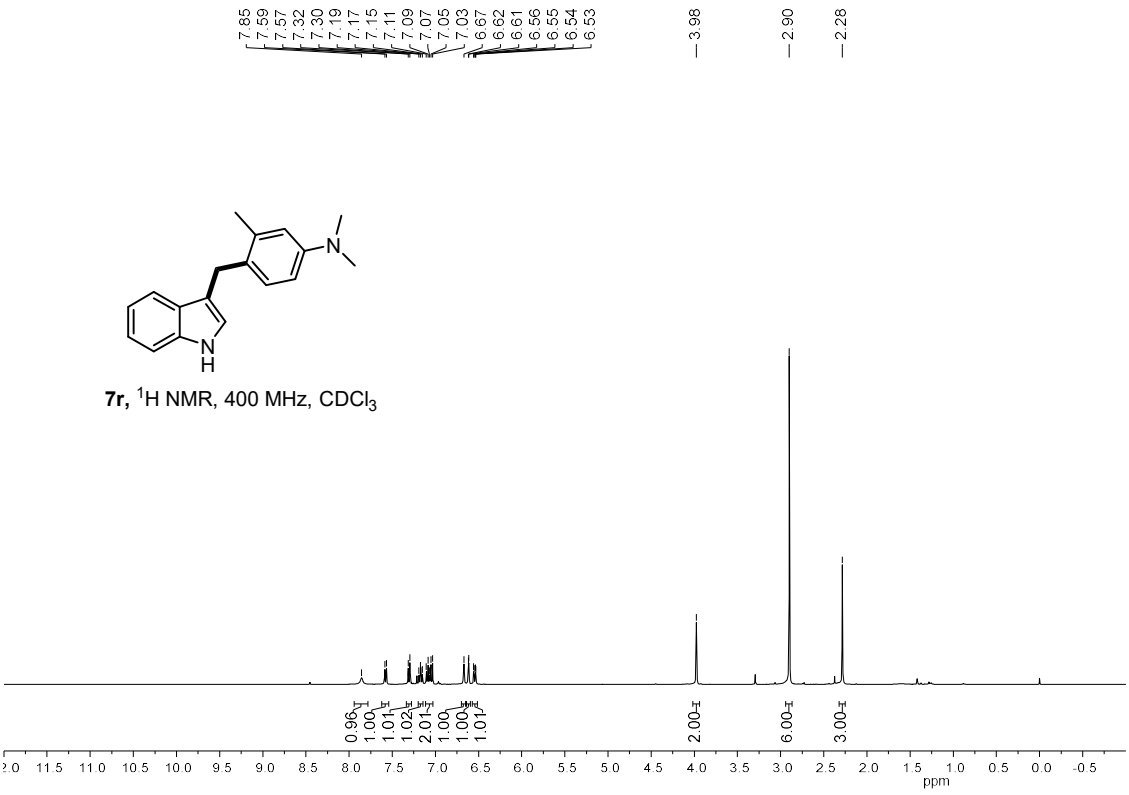




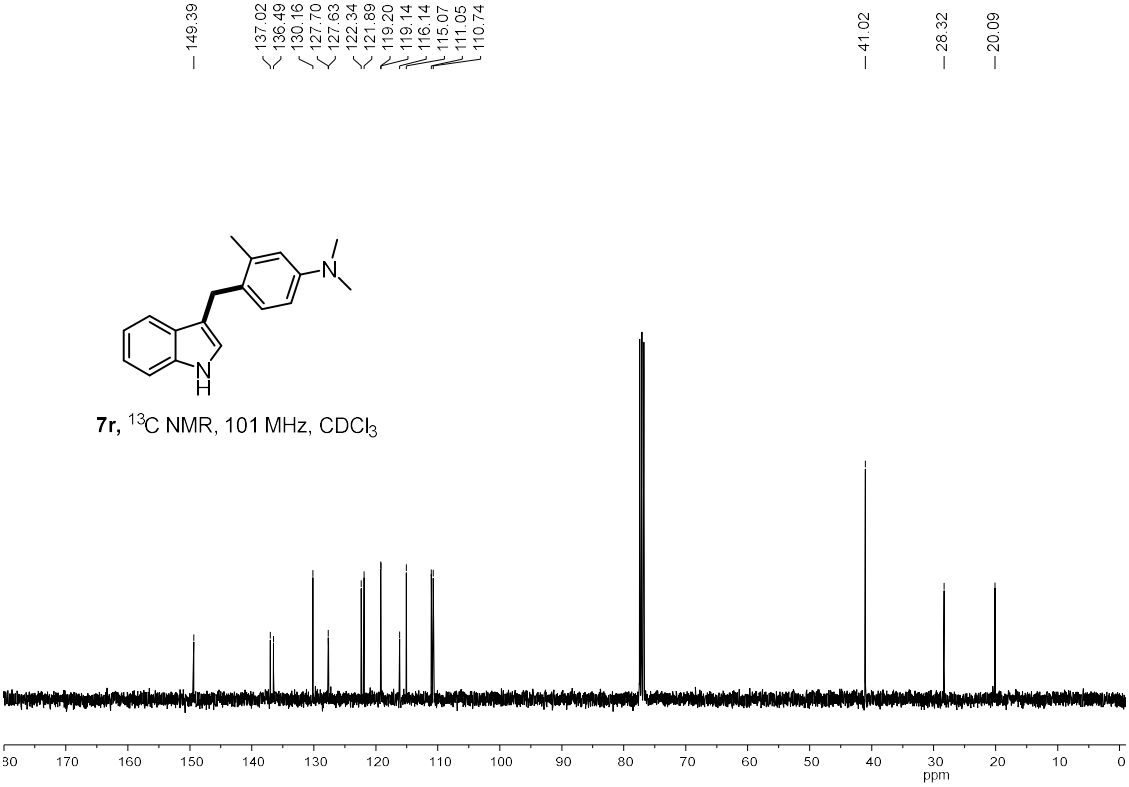
7q, ^{1}H NMR, 400 MHz, $CDCl_3$



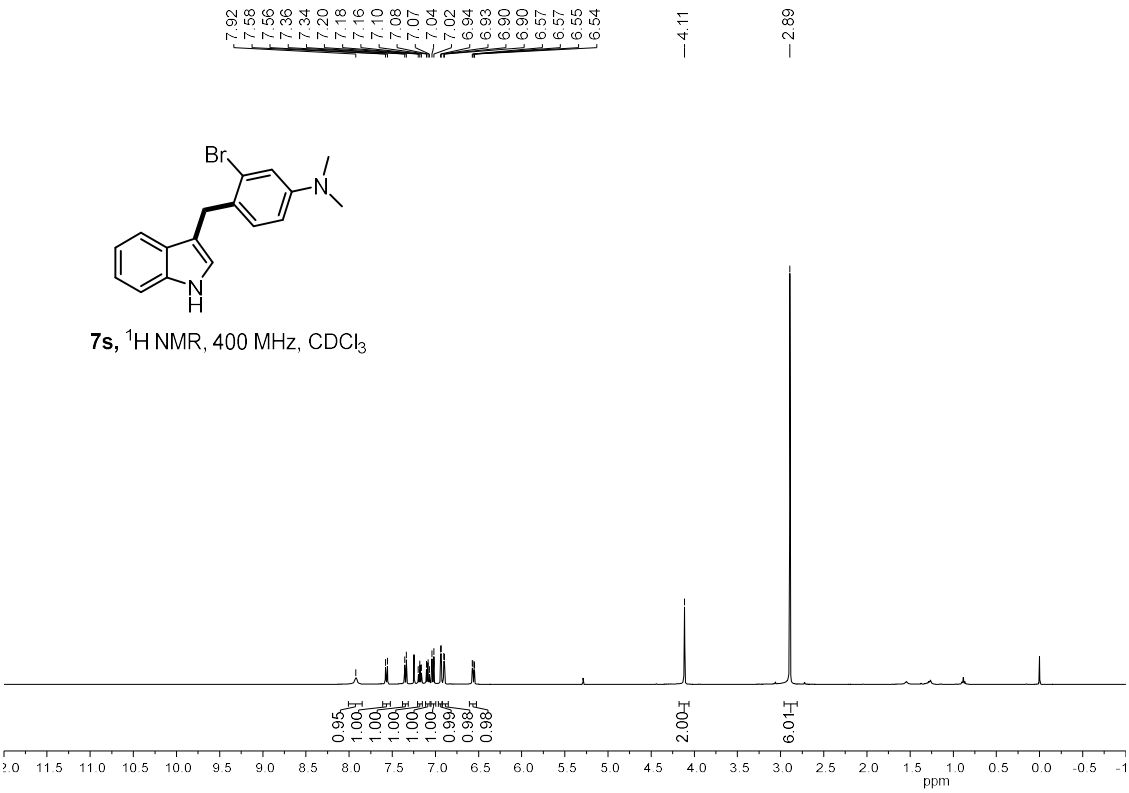
7q, ^{13}C NMR, 101 MHz, $CDCl_3$



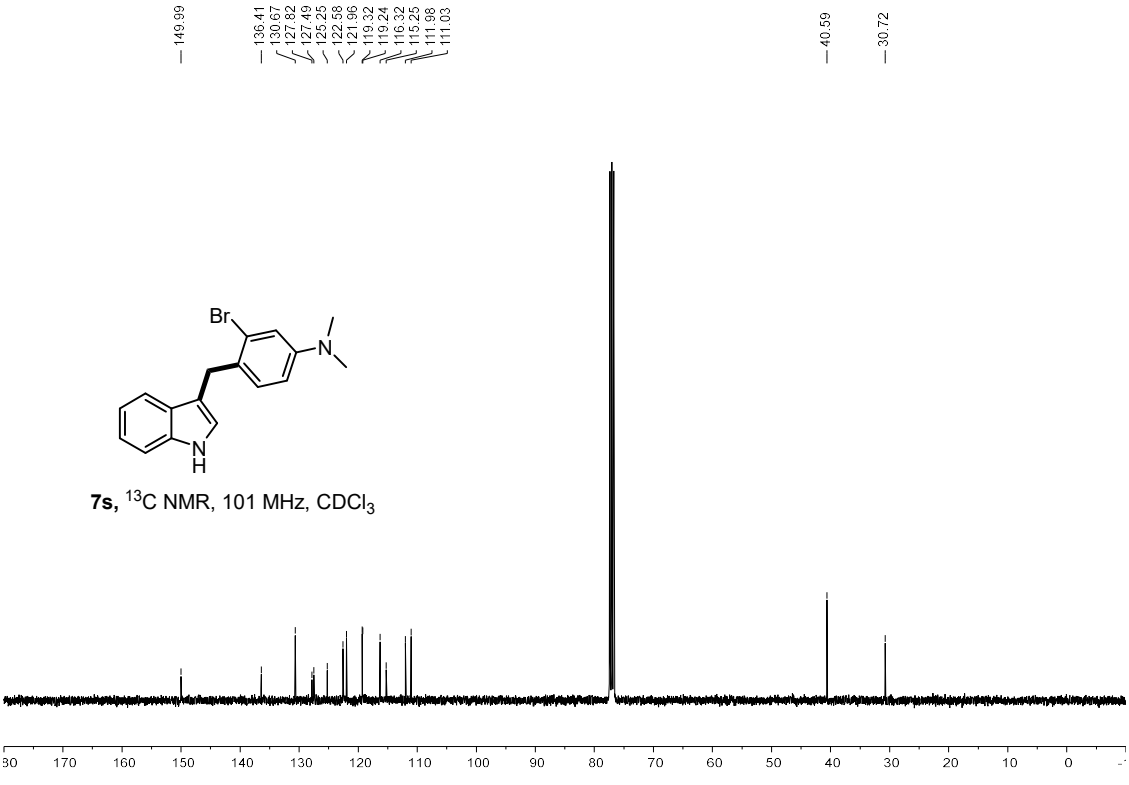
7r, ^{1}H NMR, 400 MHz, $CDCl_3$



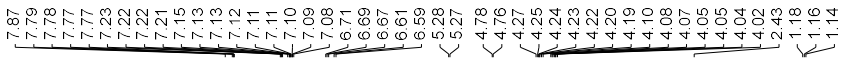
7r, ^{13}C NMR, 101 MHz, $CDCl_3$

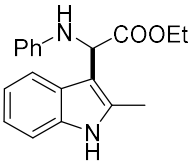


7s, ^{1}H NMR, 400 MHz, $CDCl_3$

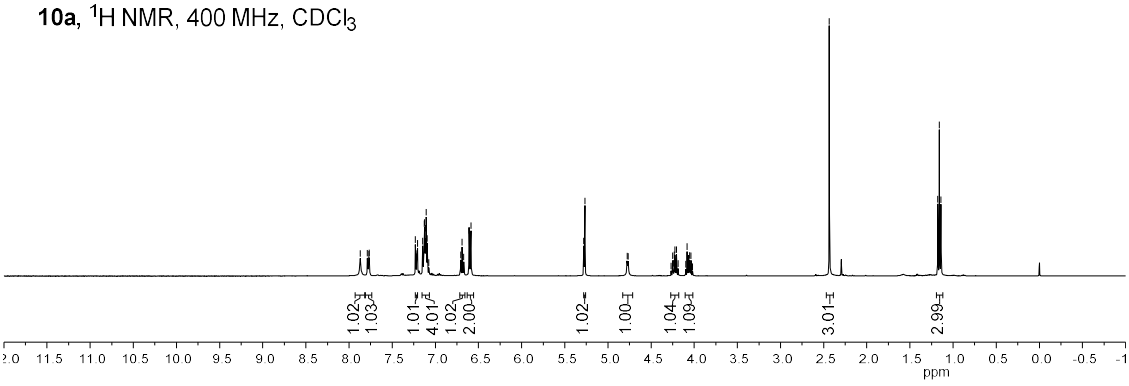


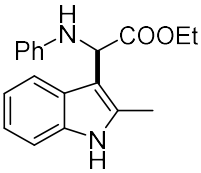
7s, ^{13}C NMR, 101 MHz, $CDCl_3$



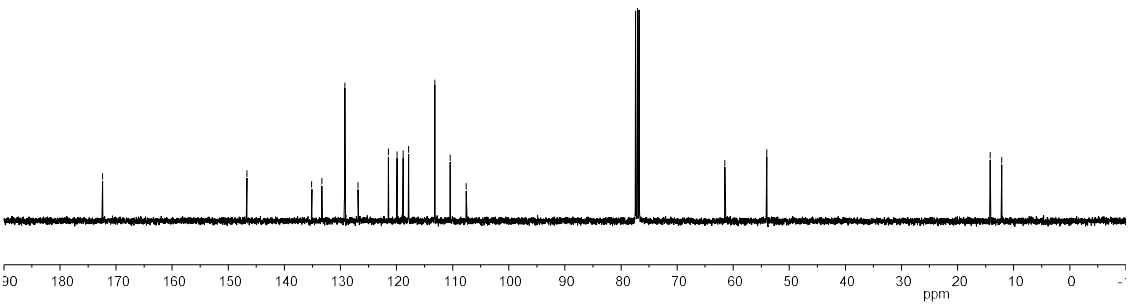


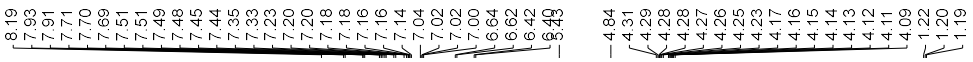
10a, ^{1}H NMR, 400 MHz, $CDCl_3$

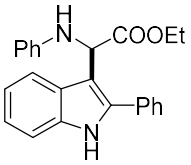




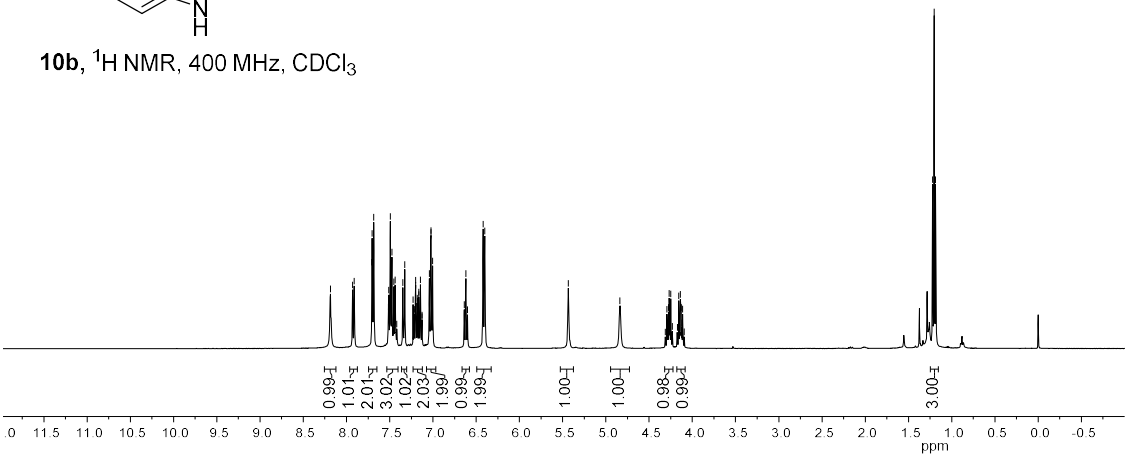
10a, ^{13}C NMR, 101 MHz, $CDCl_3$

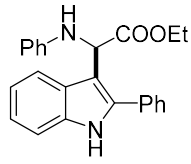




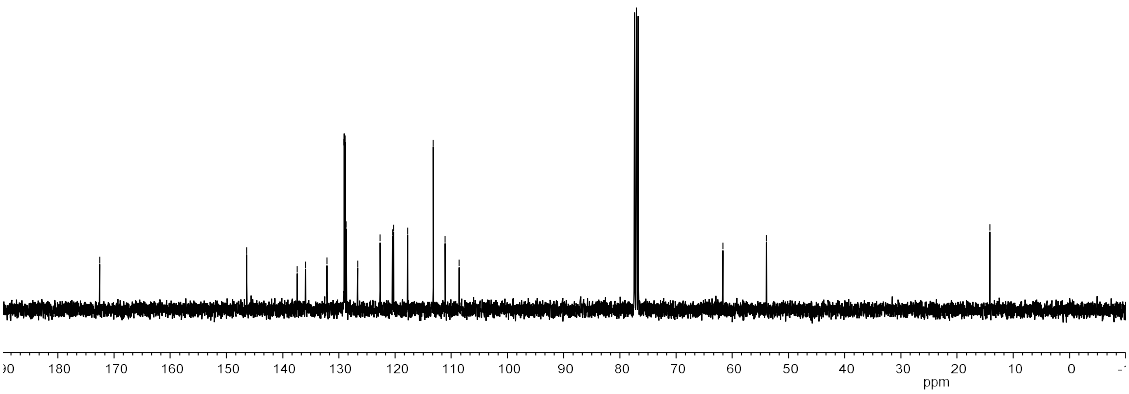


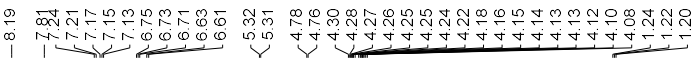
10b, ^{1}H NMR, 400 MHz, $CDCl_3$

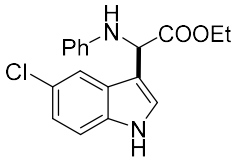




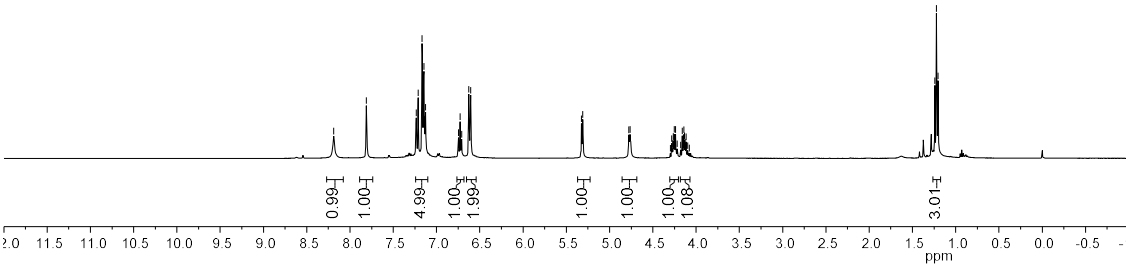
10b, ^{13}C NMR, 101 MHz, $CDCl_3$

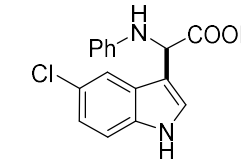




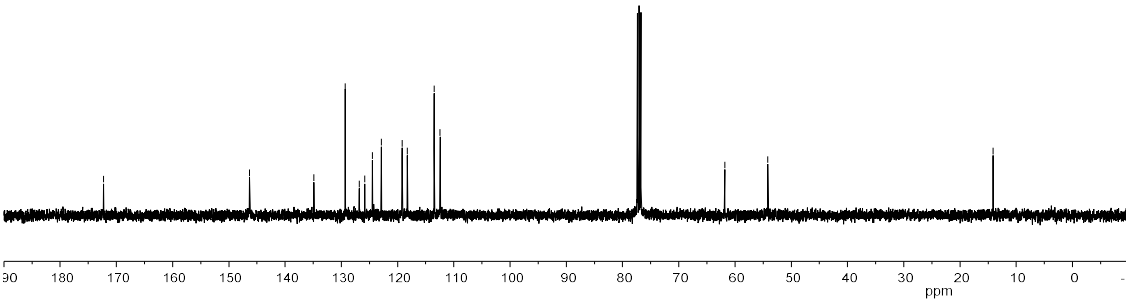


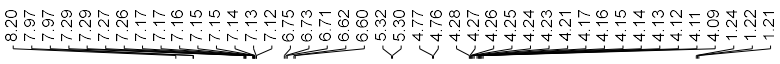
10c, ^{1}H NMR, 400 MHz, $CDCl_3$

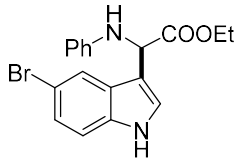




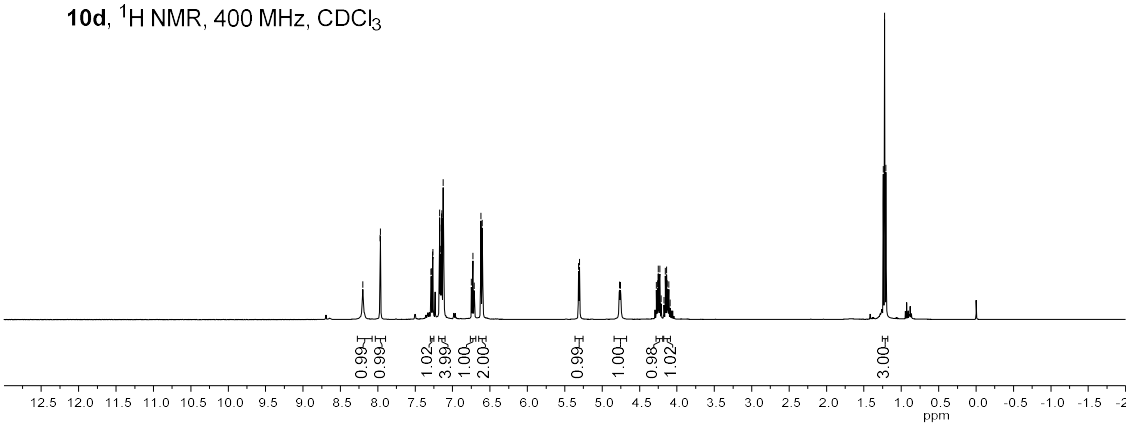
10c, ^{13}C NMR, 101 MHz, $CDCl_3$

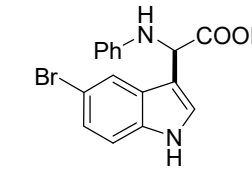




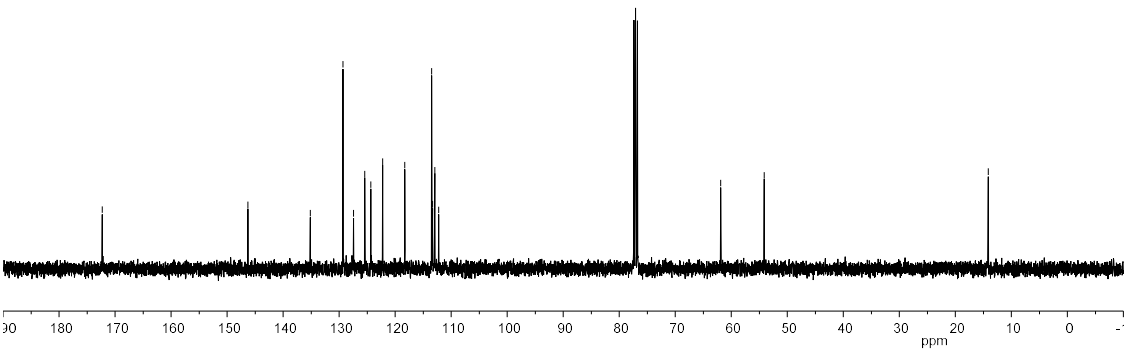


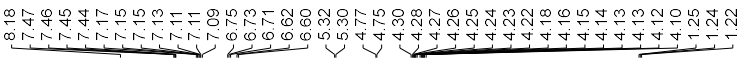
10d, ^{1}H NMR, 400 MHz, $CDCl_3$

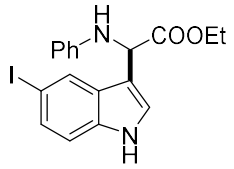




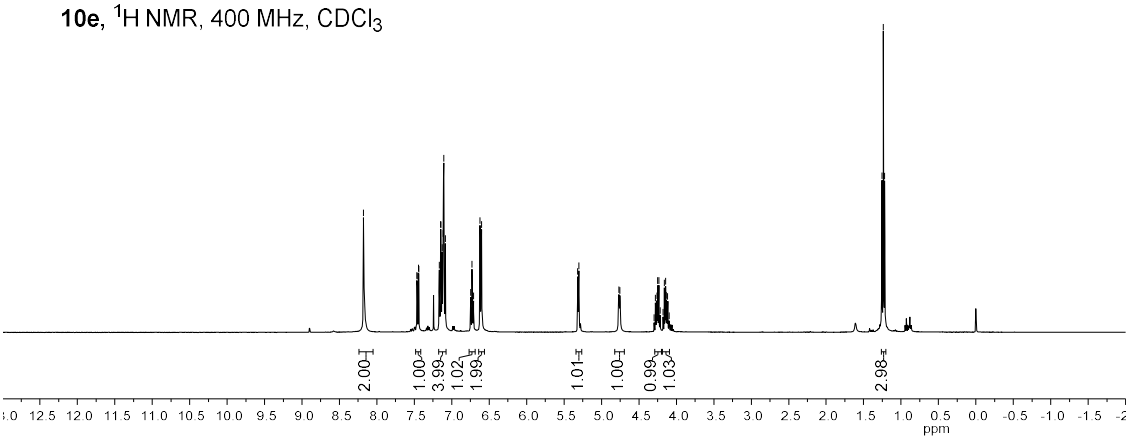
10d, ^{13}C NMR, 101 MHz, $CDCl_3$

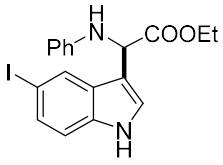




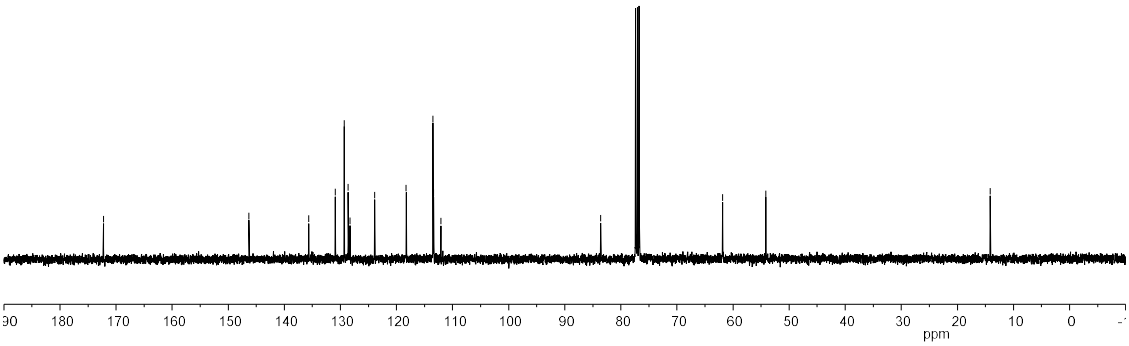


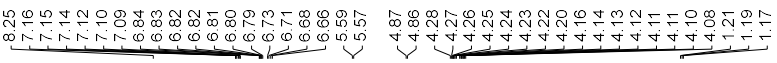
10e, ^{1}H NMR, 400 MHz, $CDCl_3$

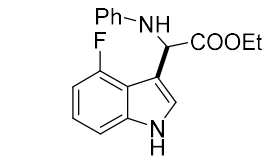




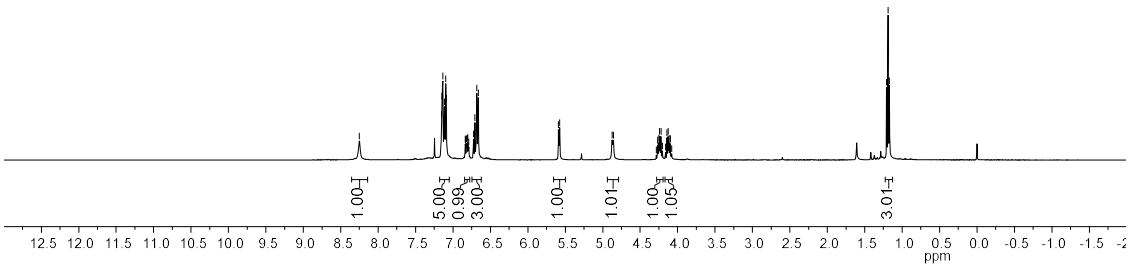
10e, ^{13}C NMR, 101 MHz, $CDCl_3$

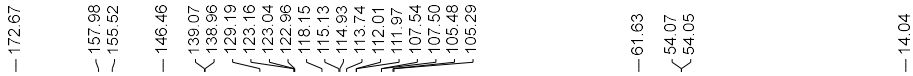


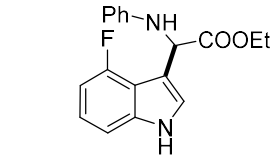




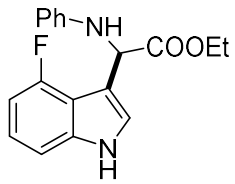
10f, ^{1}H NMR, 400 MHz, $CDCl_3$



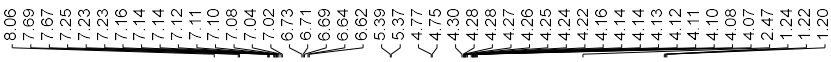


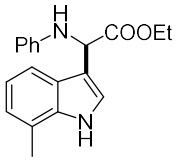


10f, ^{13}C NMR, 101 MHz, $CDCl_3$

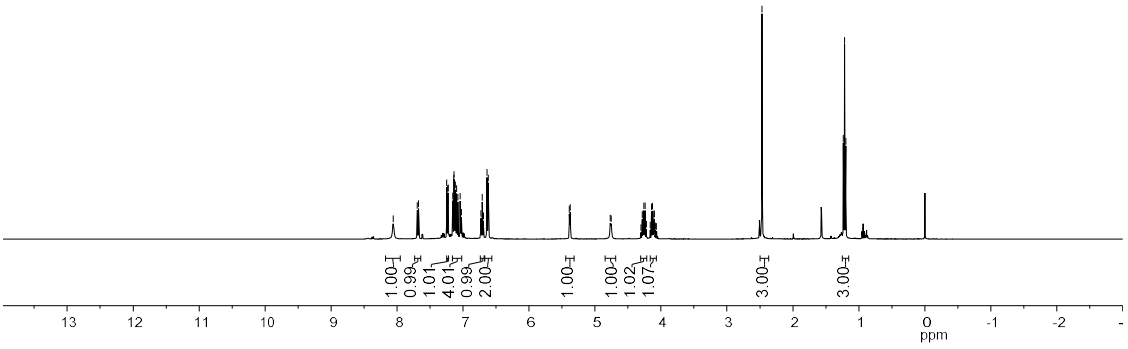


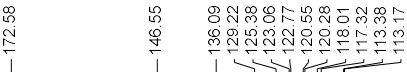
10f, ^{19}F NMR, 376 MHz, $CDCl_3$

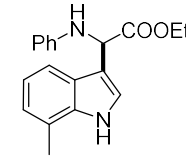




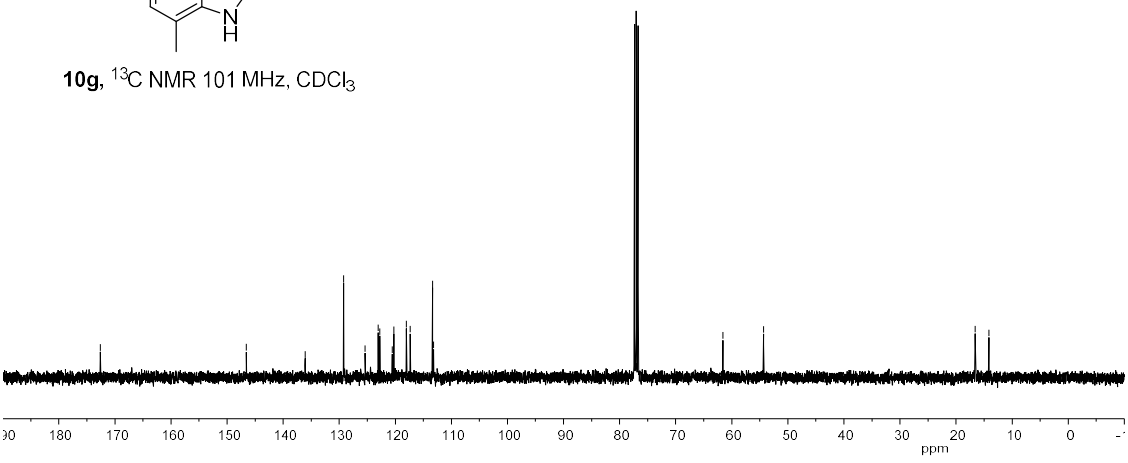
10g, ^{1}H NMR, 400 MHz, $CDCl_3$

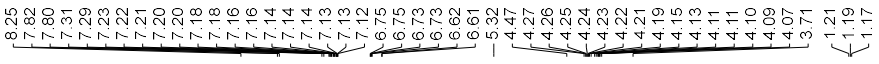


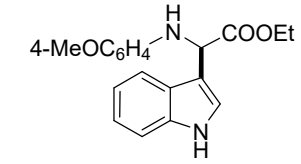




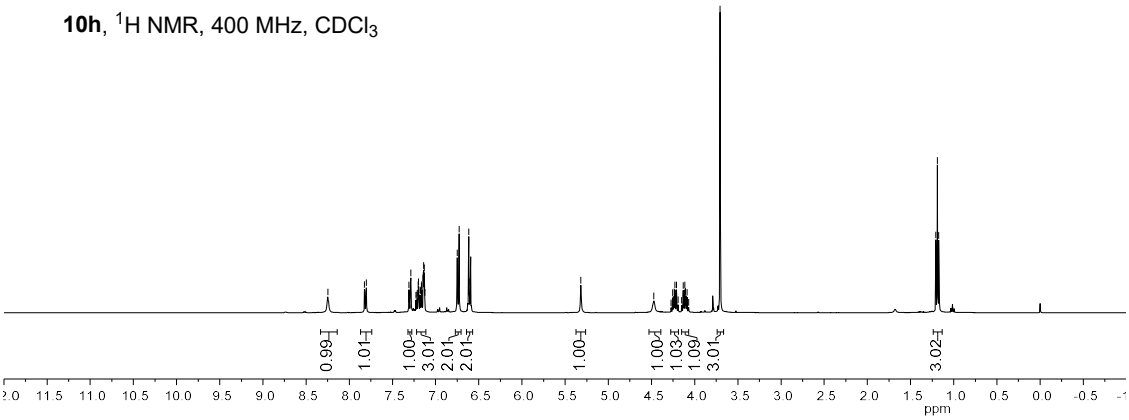
10g, ^{13}C NMR 101 MHz, $CDCl_3$

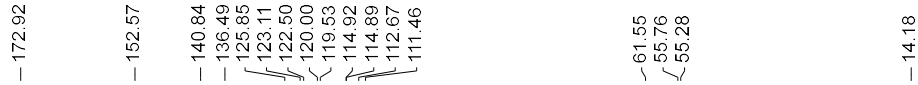


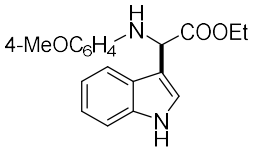




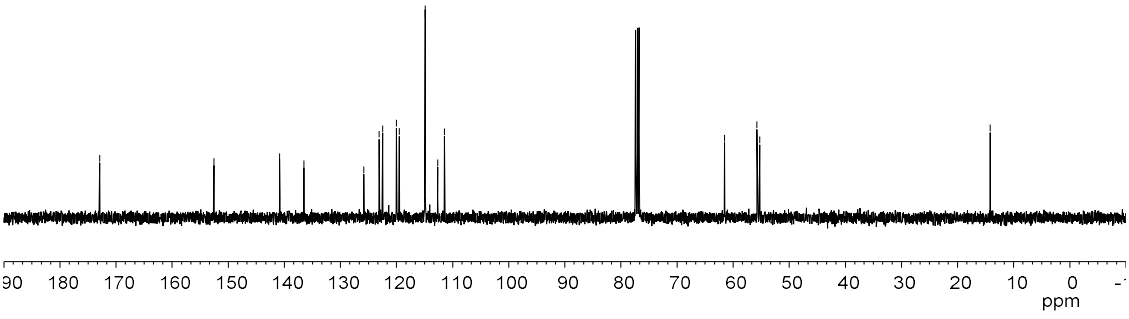
10h, ^{1}H NMR, 400 MHz, $CDCl_3$

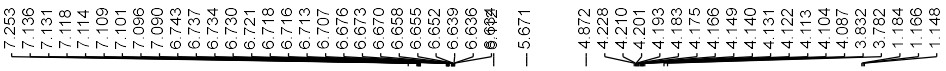


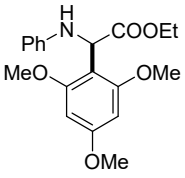




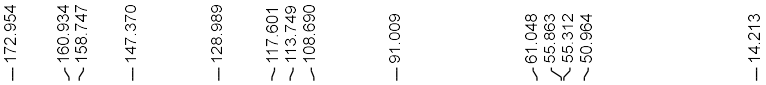
10h, ^{13}C NMR, 101 MHz, $CDCl_3$

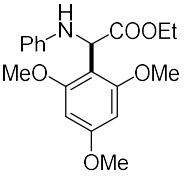




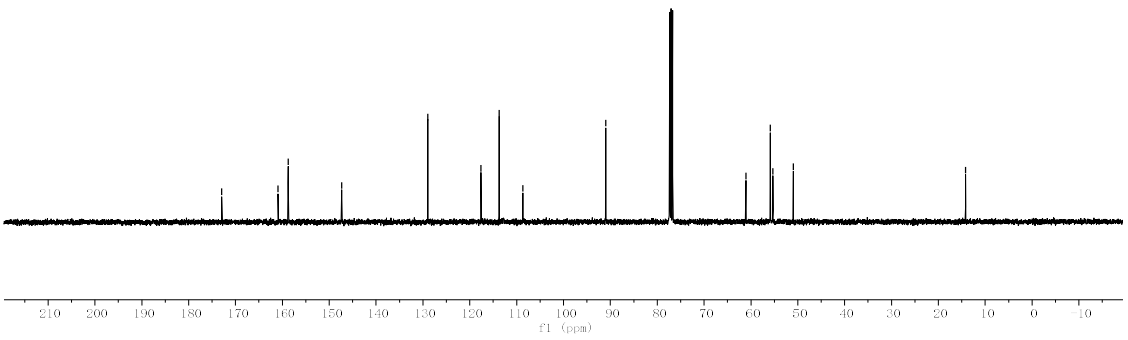


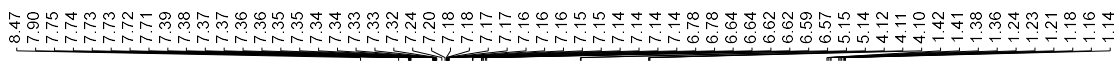
10i, ^{1}H NMR, 400 MHz, $CDCl_3$

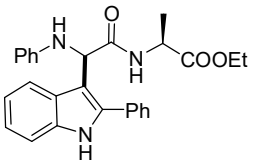




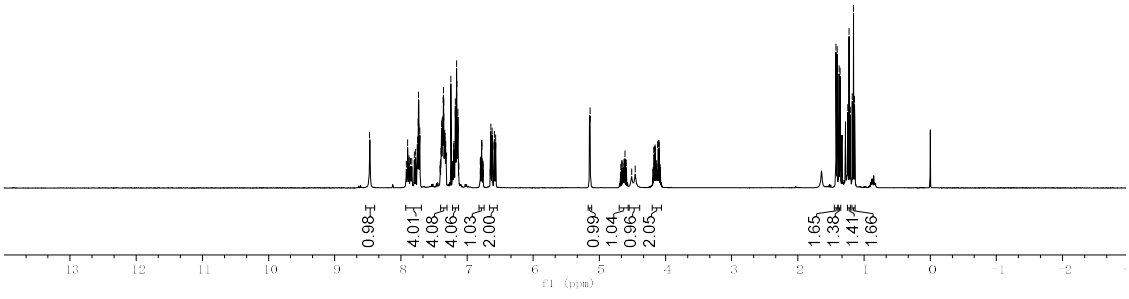
10i, ^{13}C NMR, 101 MHz, $CDCl_3$

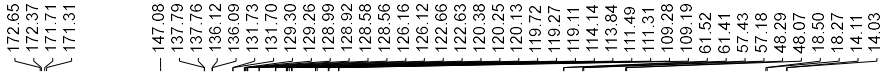


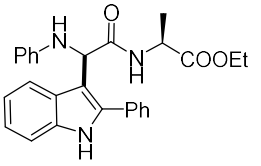




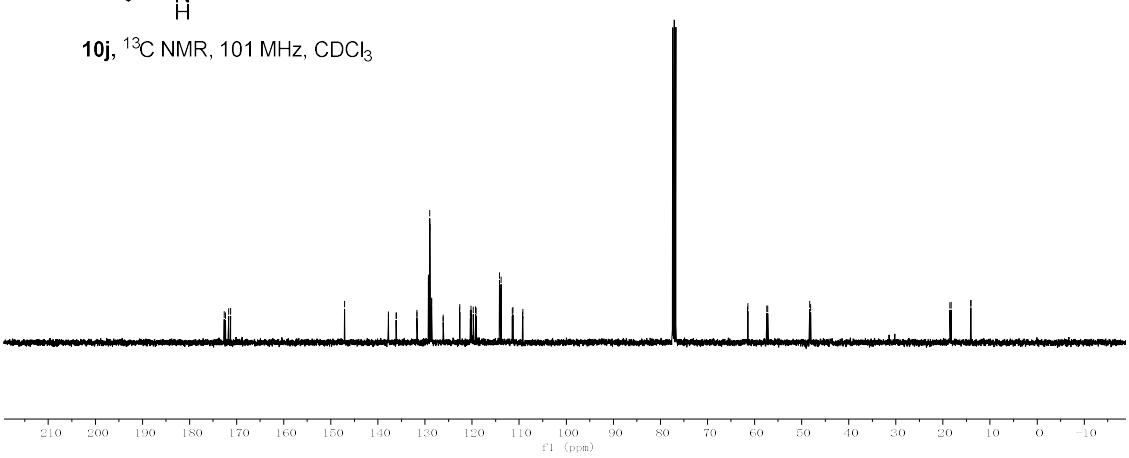
10j, ^{1}H NMR, 400 MHz, $CDCl_3$

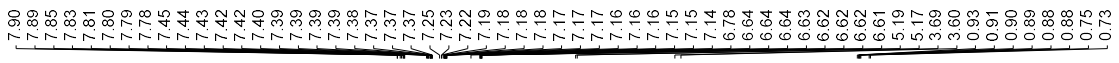


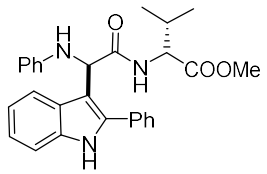




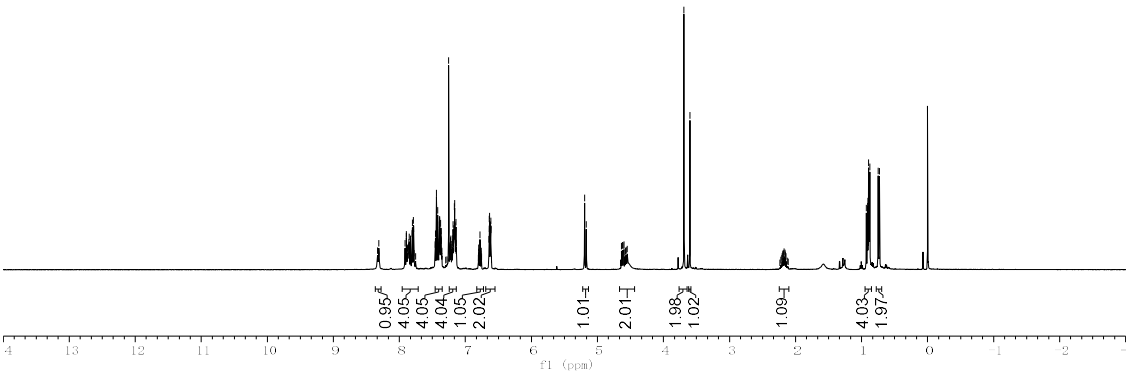
10j, ^{13}C NMR, 101 MHz, $CDCl_3$

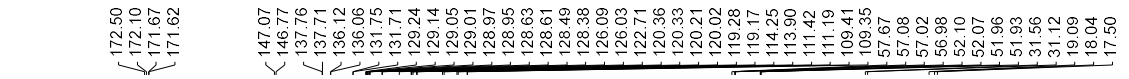


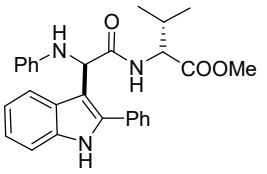




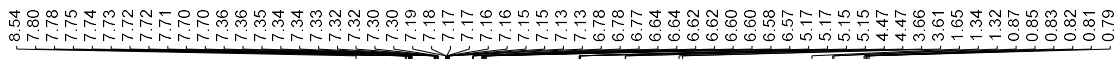
10k, ^{1}H NMR, 400 MHz, $CDCl_3$

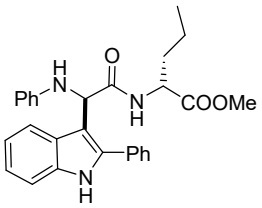




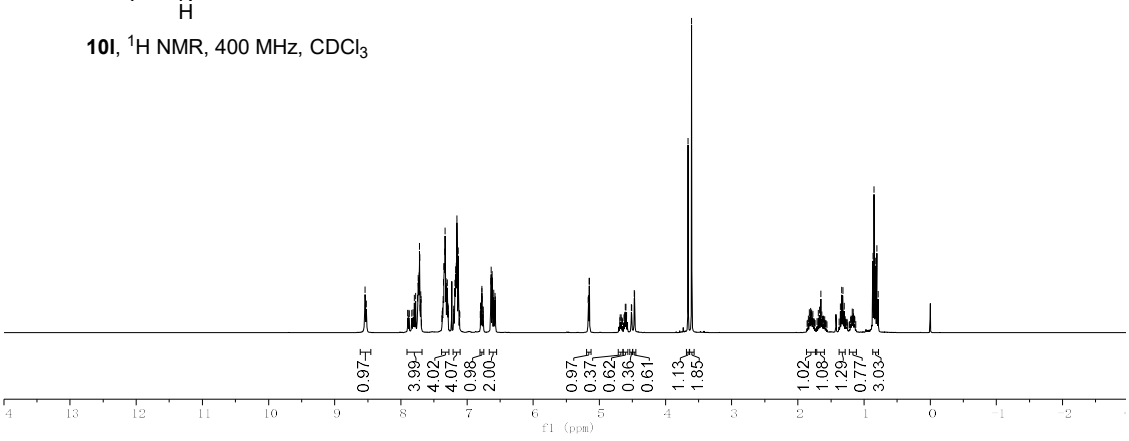


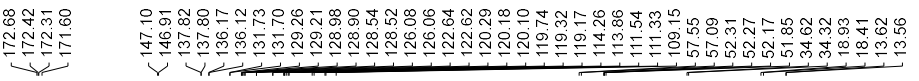
10k, ^{13}C NMR, 101 MHz, $CDCl_3$

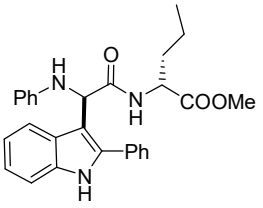




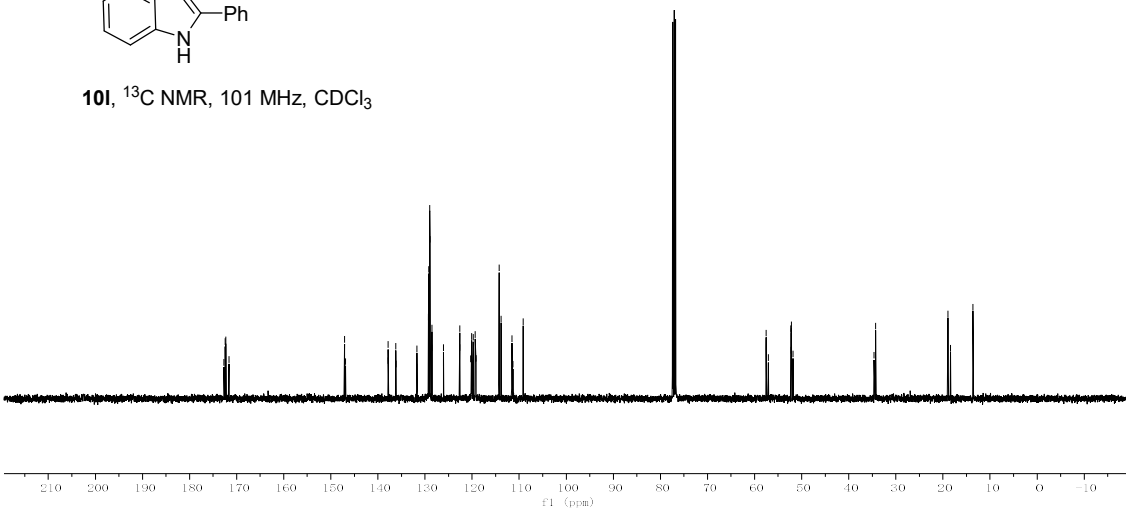
10l, ^{1}H NMR, 400 MHz, $CDCl_3$

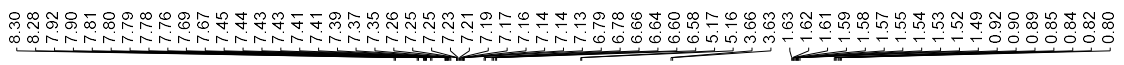


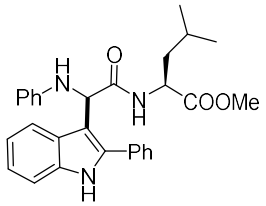




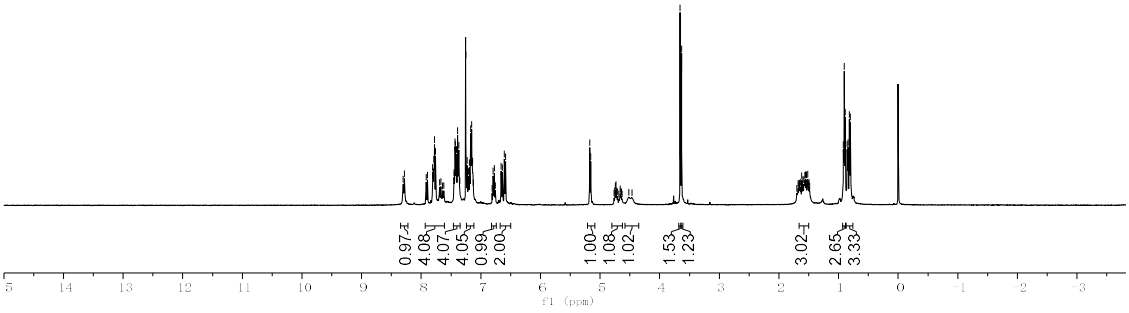
10l, ^{13}C NMR, 101 MHz, $CDCl_3$

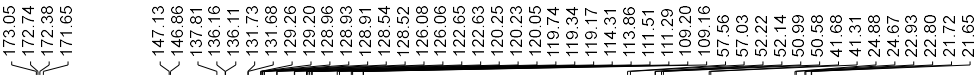


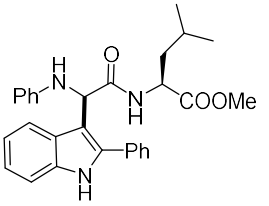




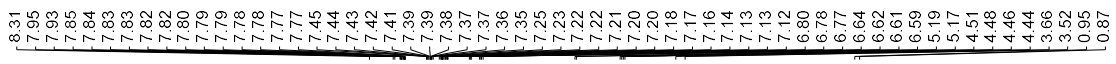
10m, ^{1}H NMR, 400 MHz, $CDCl_3$

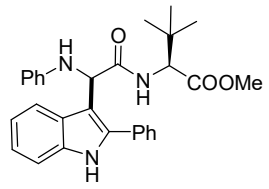




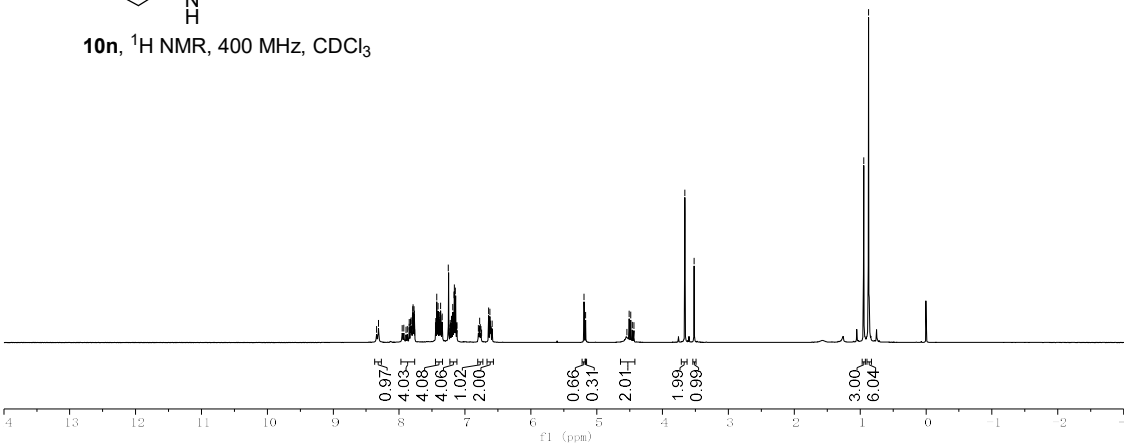


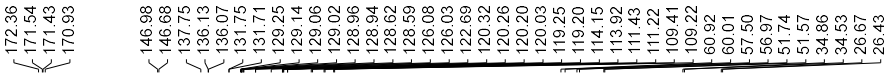
10m, ^{13}C NMR, 101 MHz, $CDCl_3$

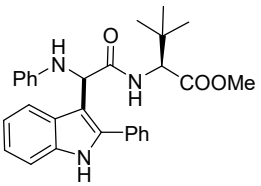




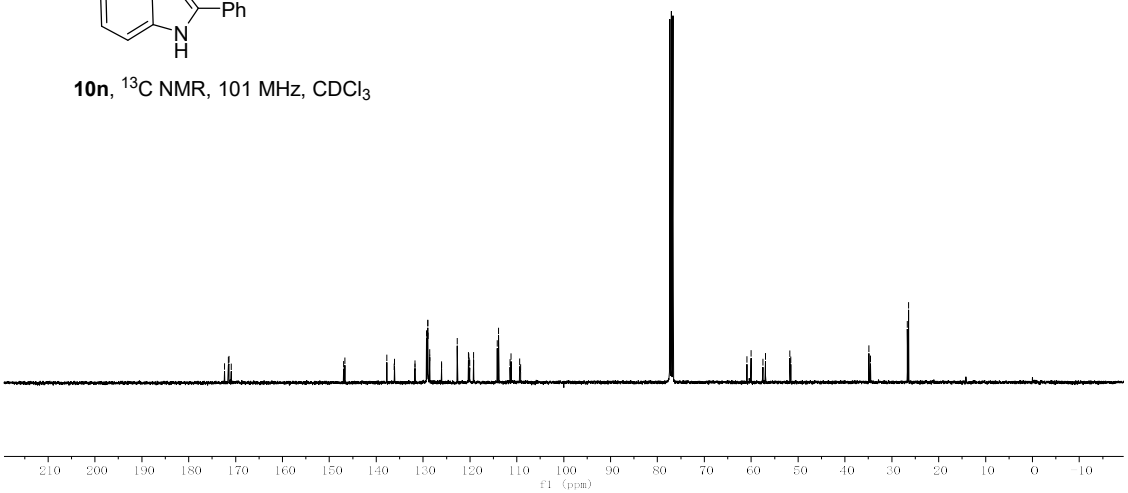
10n, ^{1}H NMR, 400 MHz, $CDCl_3$

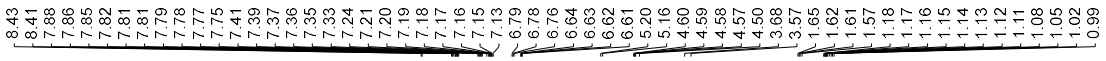


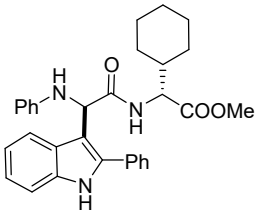




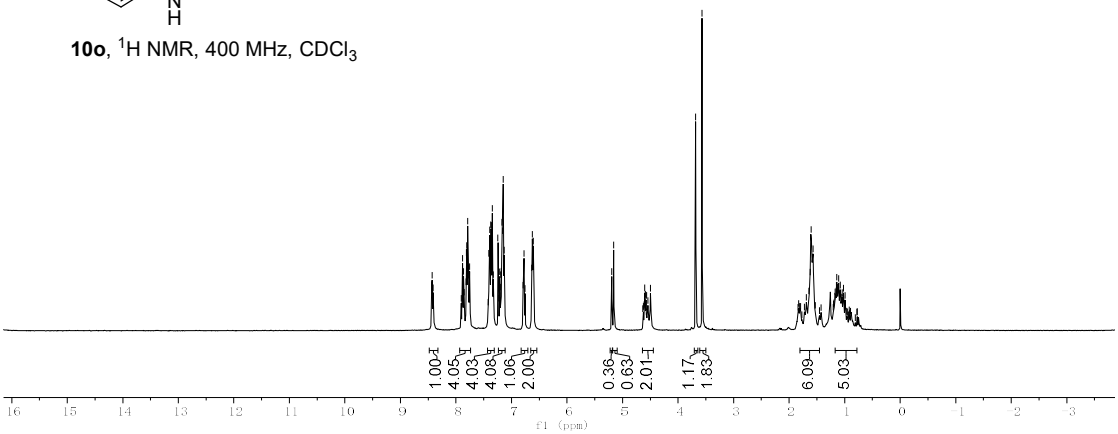
10n, ^{13}C NMR, 101 MHz, $CDCl_3$

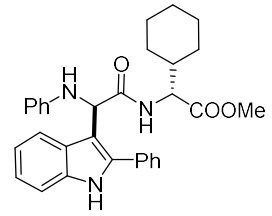




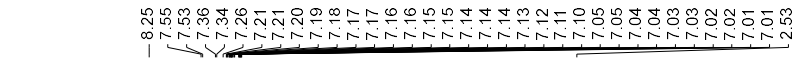


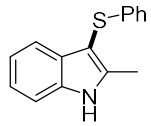
10o, ^{1}H NMR, 400 MHz, $CDCl_3$



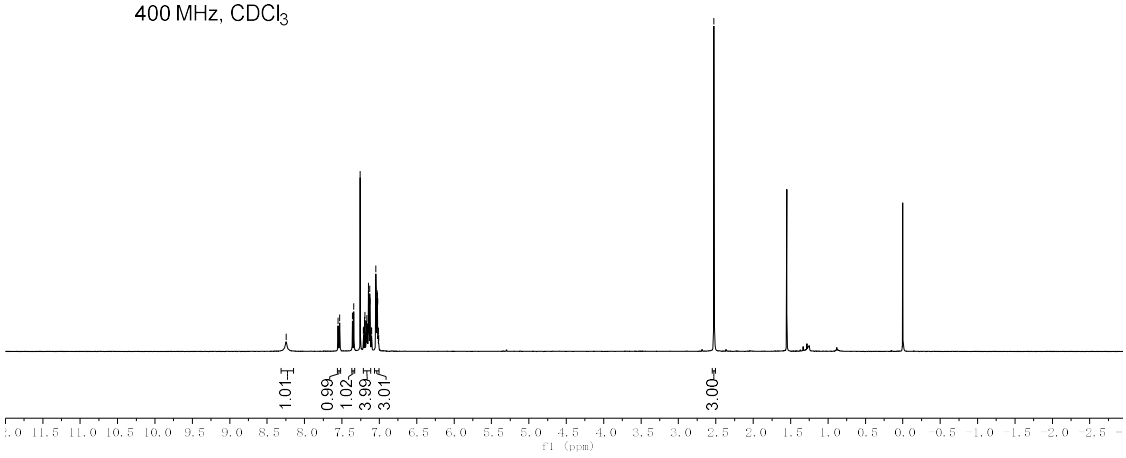


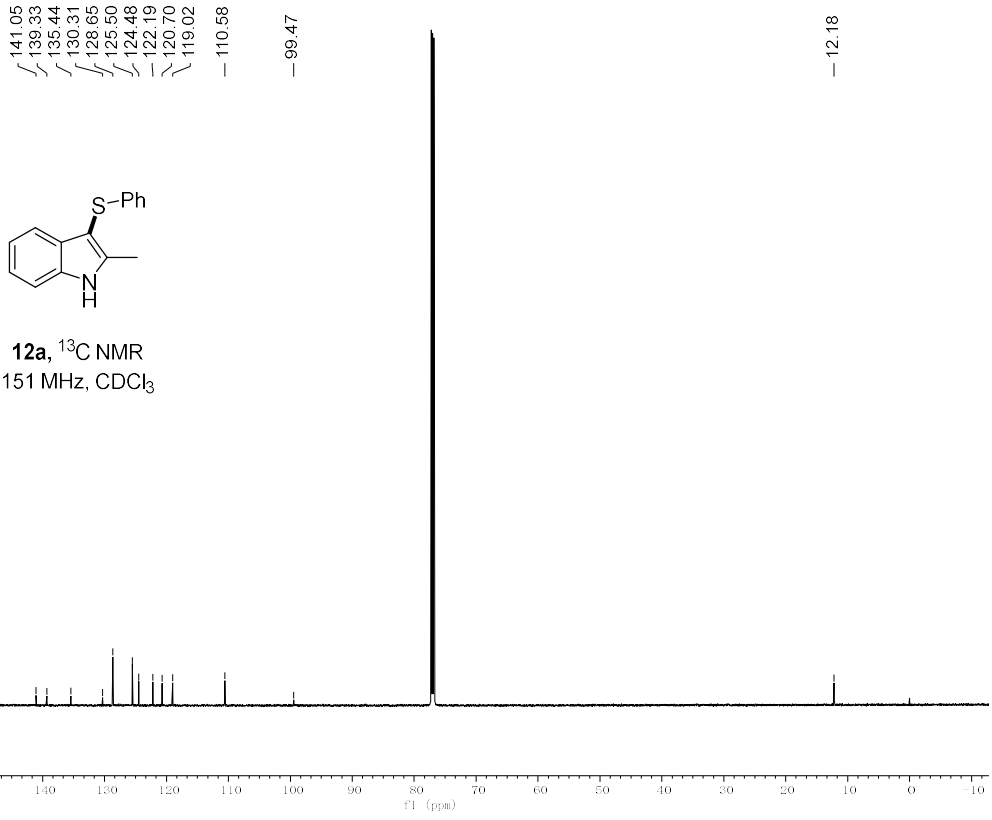
10o, ^{13}C NMR, 101 MHz, $CDCl_3$



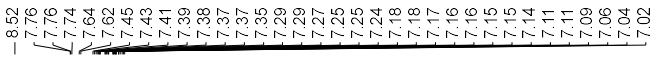


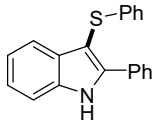
12a, ^{1}H NMR
400 MHz, $CDCl_3$



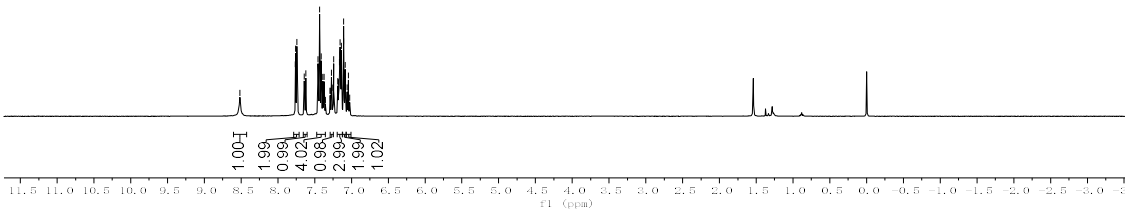


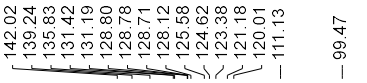
12a, ^{13}C NMR
151 MHz, $CDCl_3$

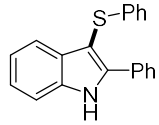




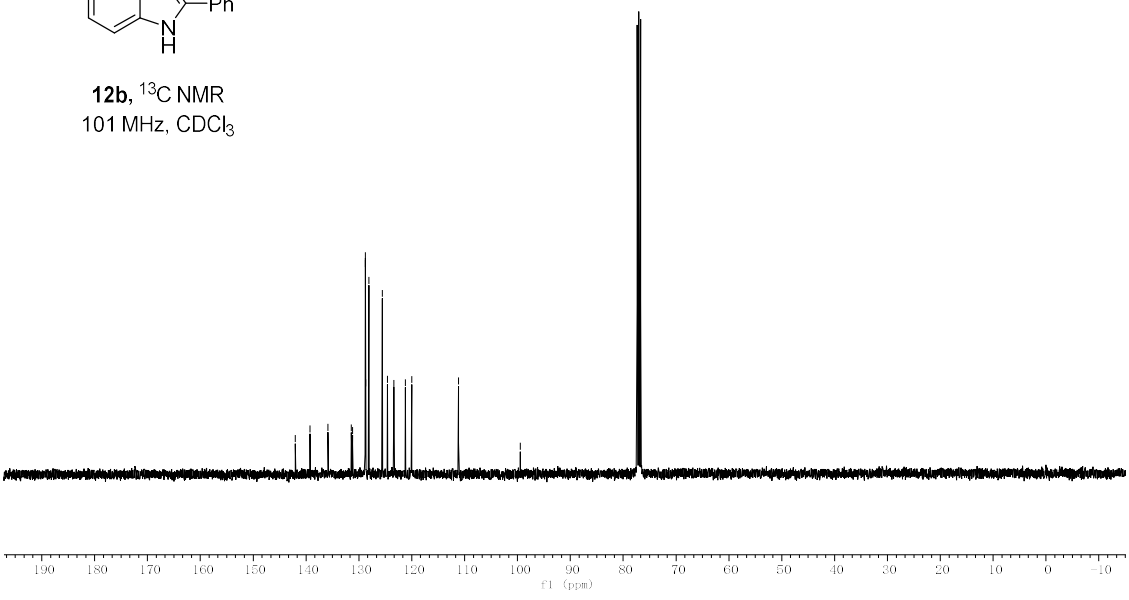
12b, ^{1}H NMR
400 MHz, $CDCl_3$

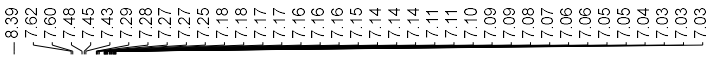


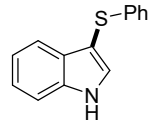




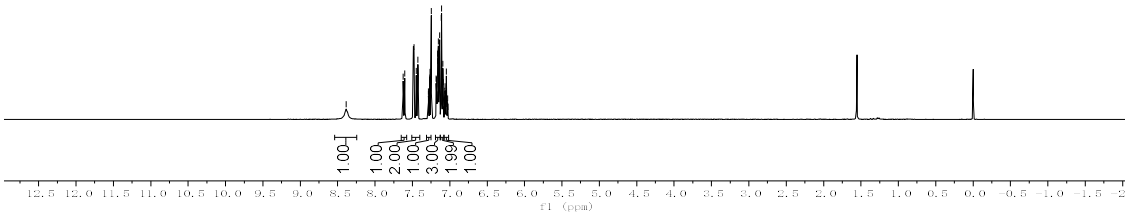
12b, ^{13}C NMR
101 MHz, $CDCl_3$

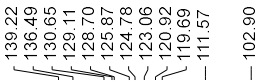


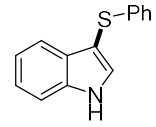




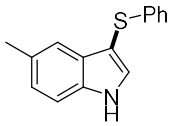
12c, ^{1}H NMR
400 MHz, $CDCl_3$



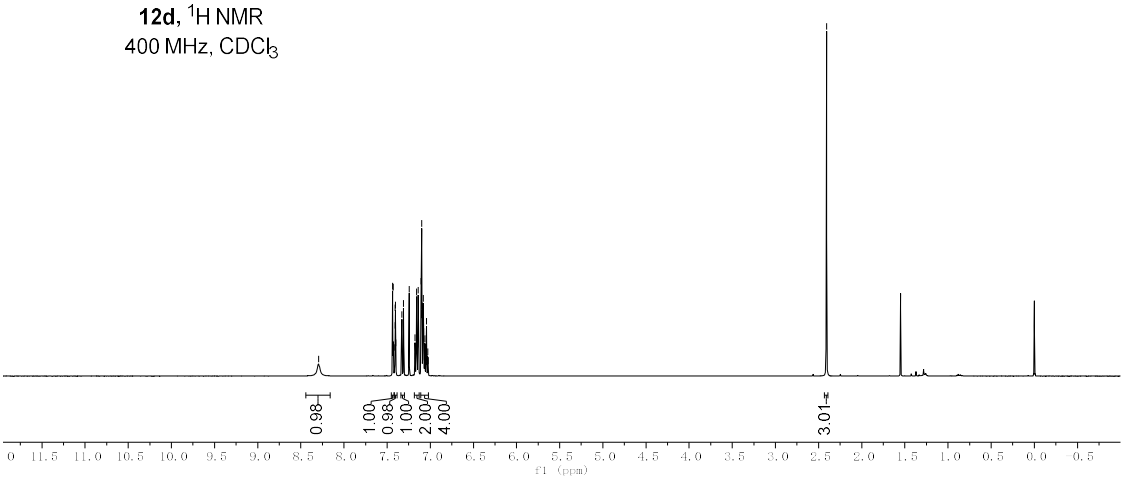


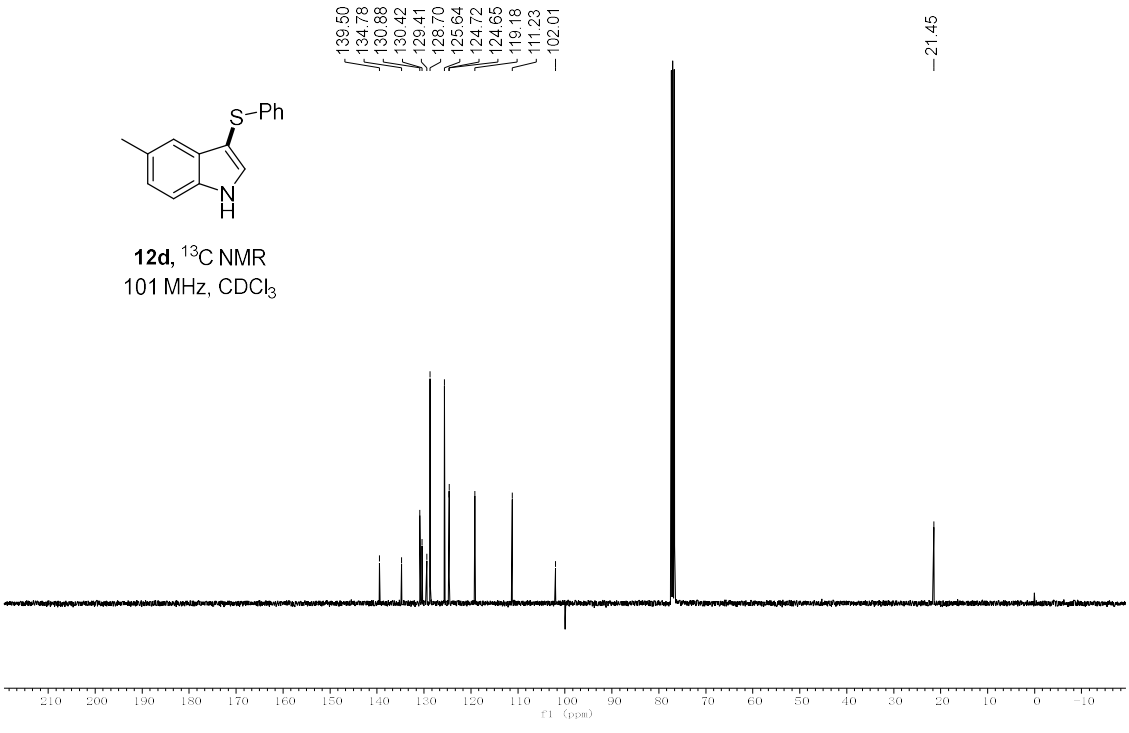


12c, ^{13}C NMR
101 MHz, $CDCl_3$

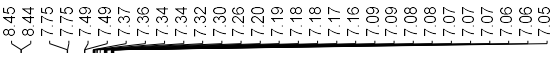


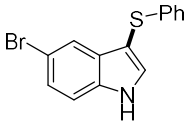
12d, ^{1}H NMR
400 MHz, $CDCl_3$



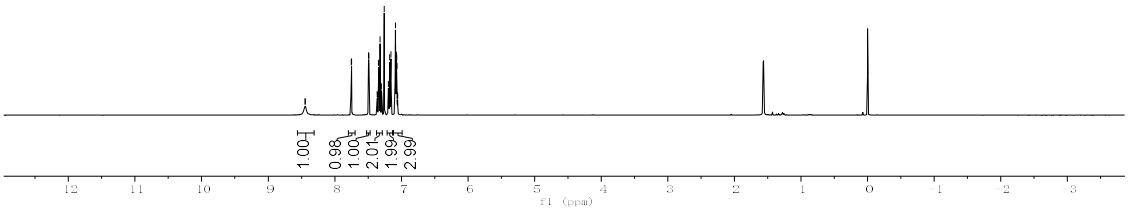


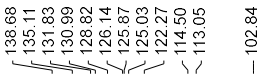
12d, ^{13}C NMR
101 MHz, $CDCl_3$

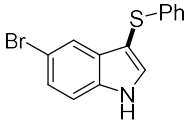




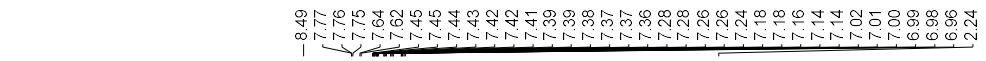
12e, ^{1}H NMR
400 MHz, $CDCl_3$

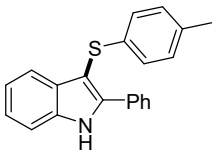




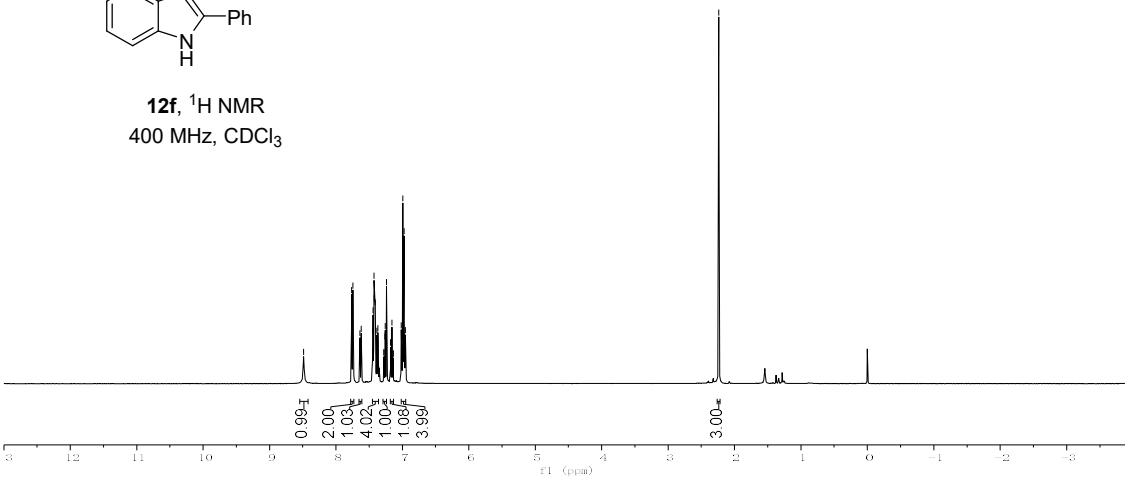


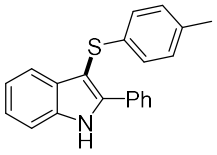
12e, ^{13}C NMR
101 MHz, $CDCl_3$



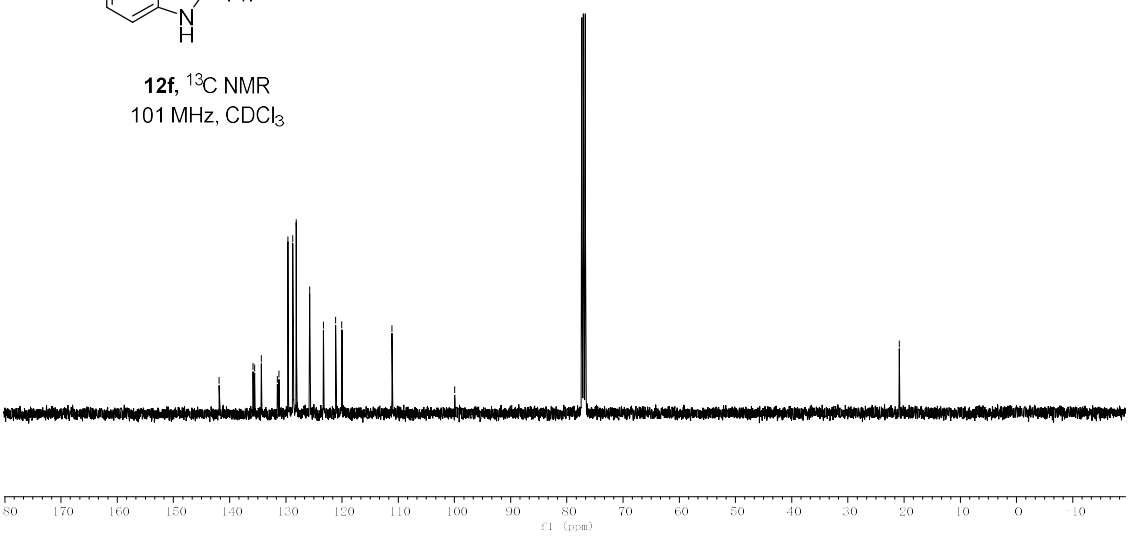


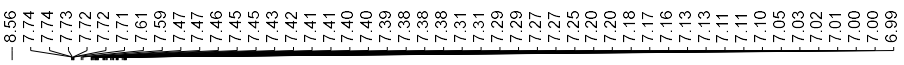
12f, ^{1}H NMR
400 MHz, $CDCl_3$

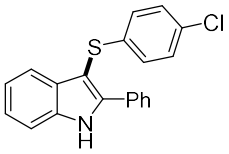




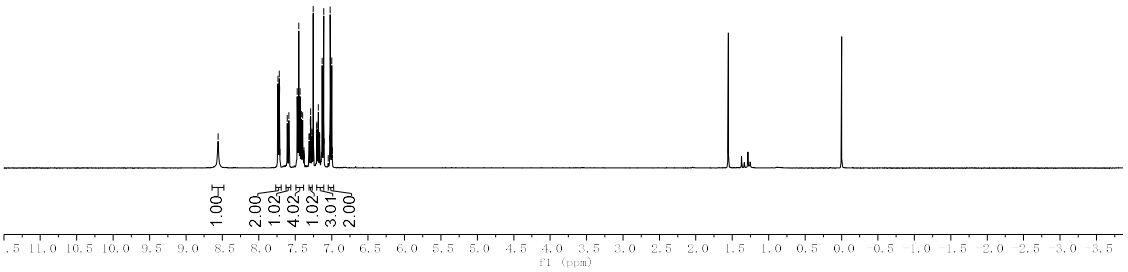
12f, ^{13}C NMR
101 MHz, $CDCl_3$

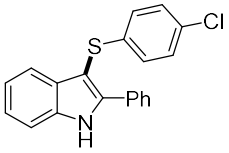




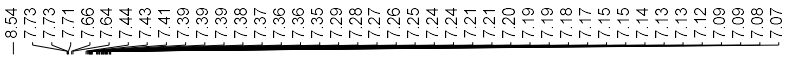


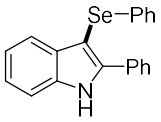
12g, ^{1}H NMR
400 MHz, $CDCl_3$



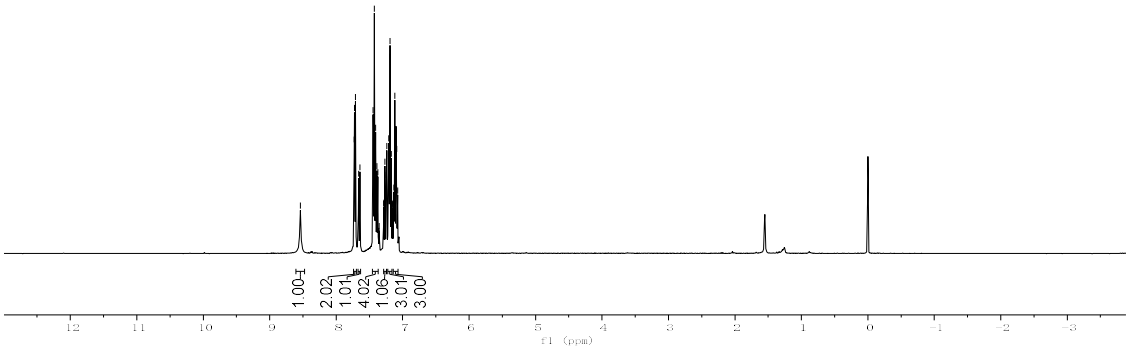


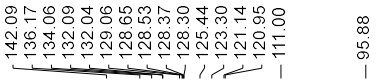
12g, ^{13}C NMR
101 MHz, $CDCl_3$

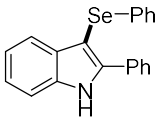




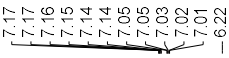
12h, ^{1}H NMR
400 MHz, $CDCl_3$

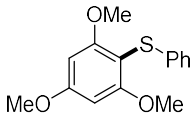




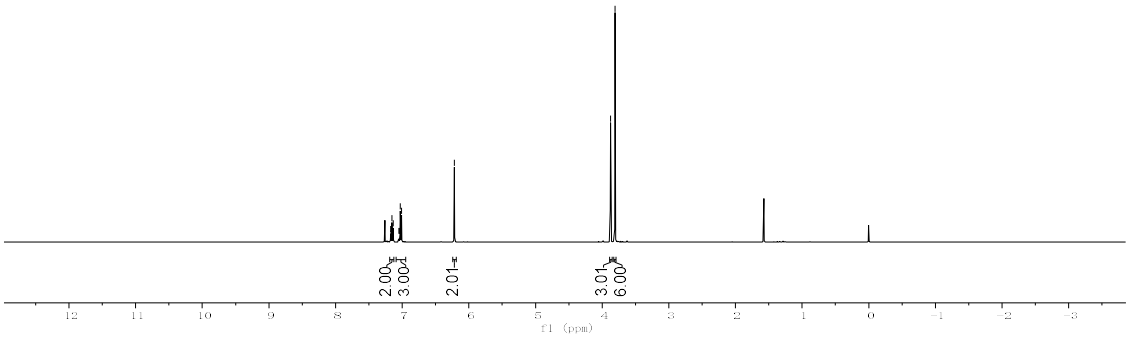


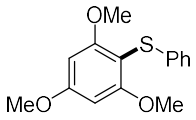
12h, ^{13}C NMR
101 MHz, $CDCl_3$



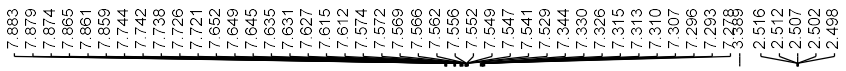


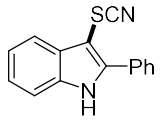
12i, ^{1}H NMR
400 MHz, $CDCl_3$



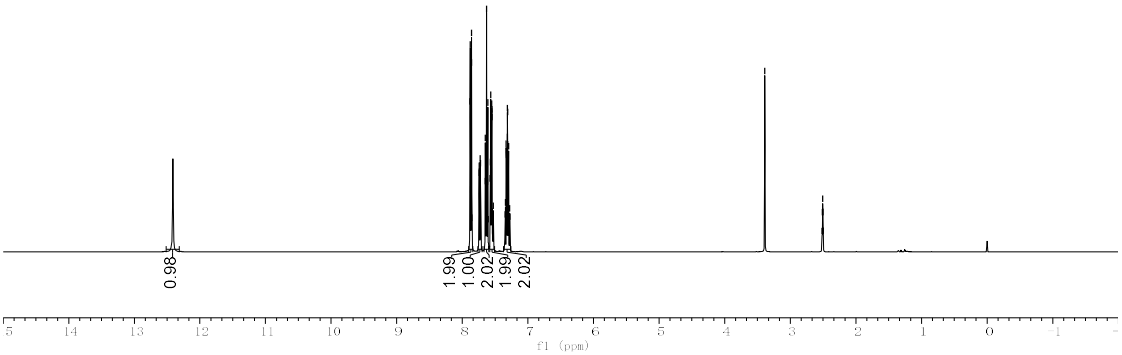


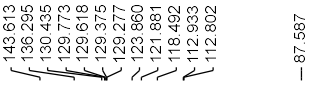
12i, ^{13}C NMR
101 MHz, $CDCl_3$

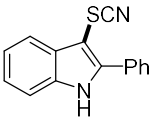




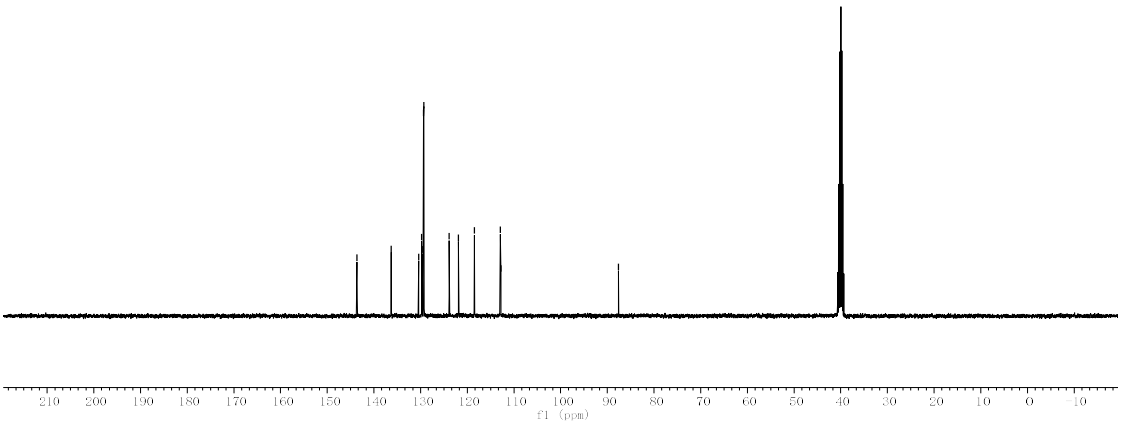
12j, ^{1}H NMR, 400 MHz, DMSO-d_6

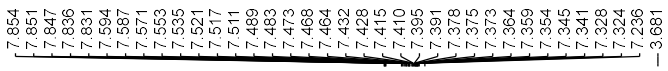


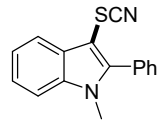




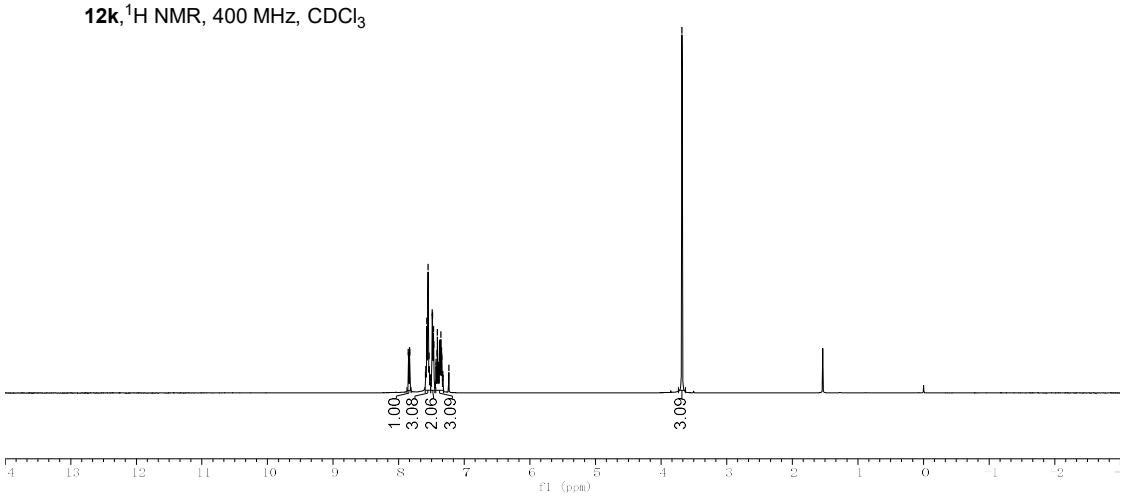
12j, ^{13}C NMR, 101 MHz, DMSO-d_6

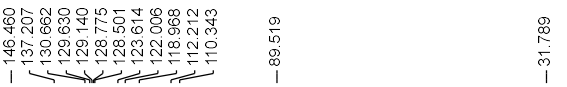


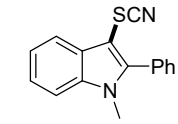




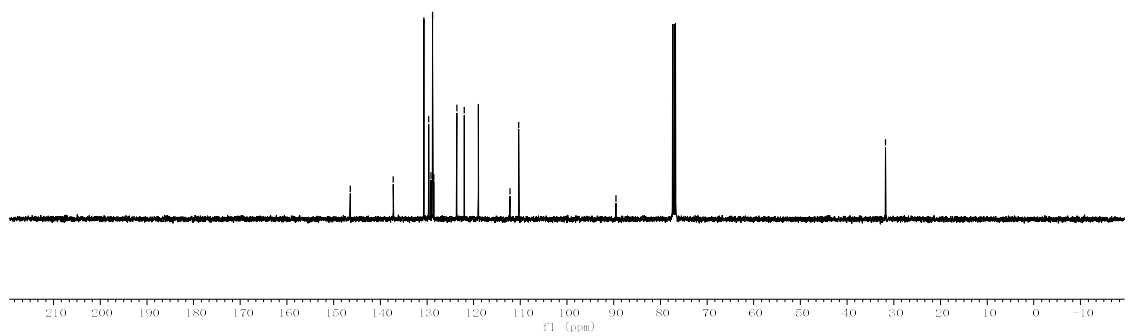
12k,^{1}H NMR, 400 MHz, $CDCl_3$

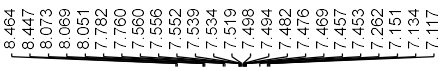


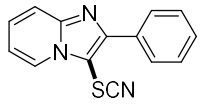




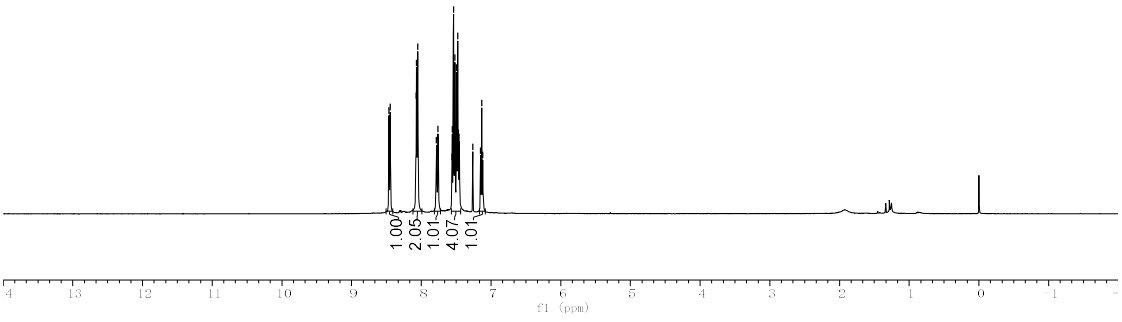
12k,^{13}C NMR, 101 MHz, $CDCl_3$

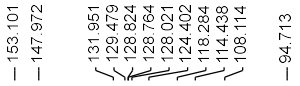


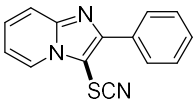




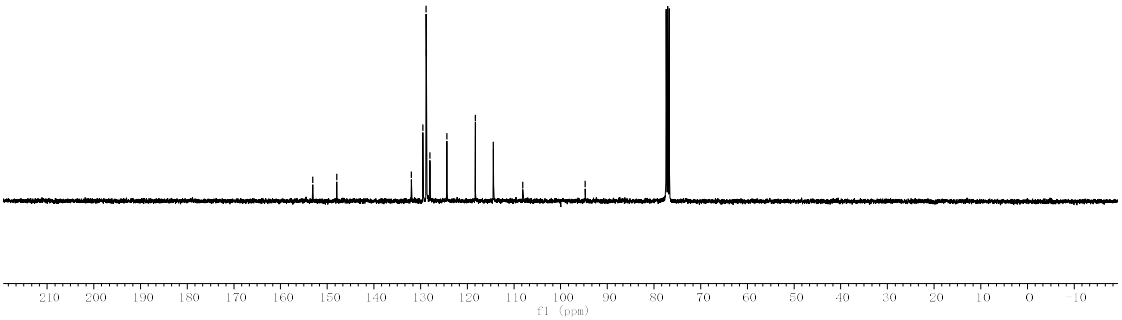
12l, ^{1}H NMR, 400 MHz, $CDCl_3$

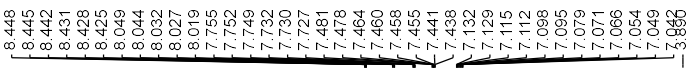


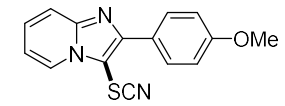




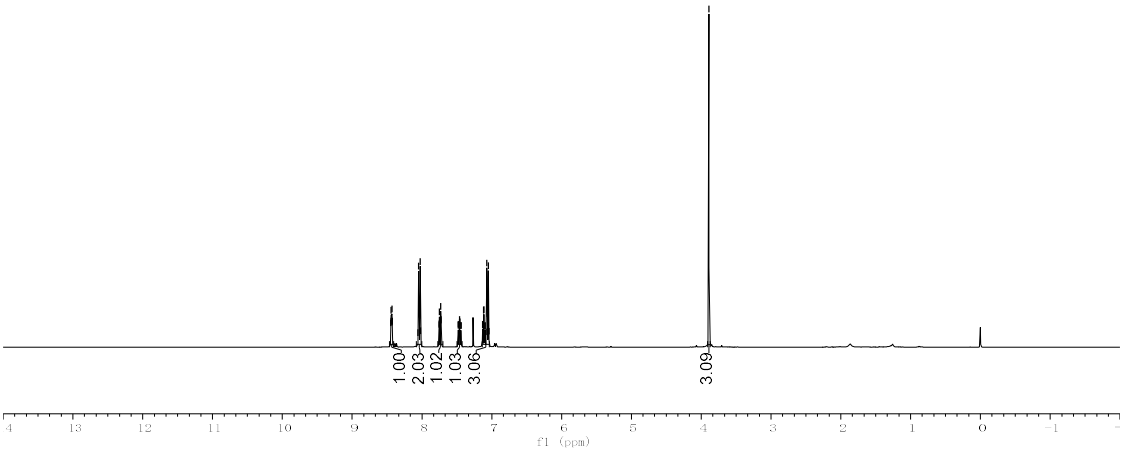
12l, ^{13}C NMR, 101 MHz, $CDCl_3$

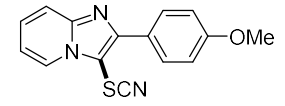




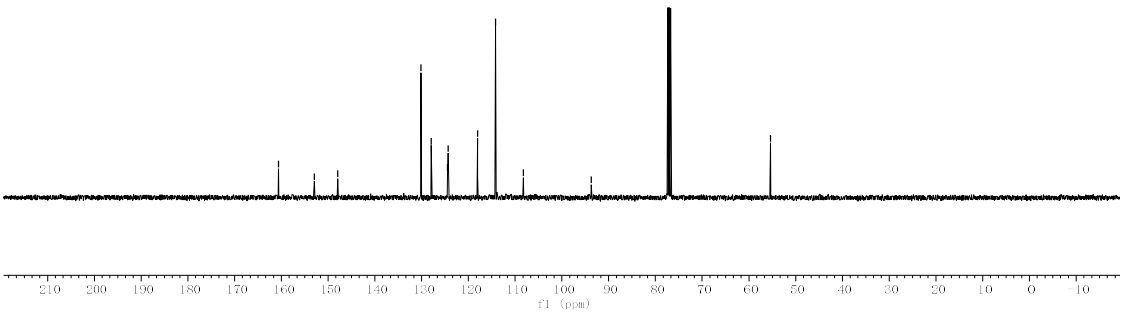


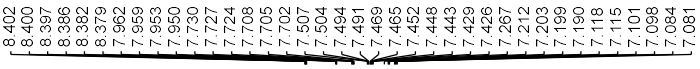
12m,^{1}H NMR, 400 MHz, $CDCl_3$

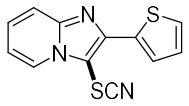




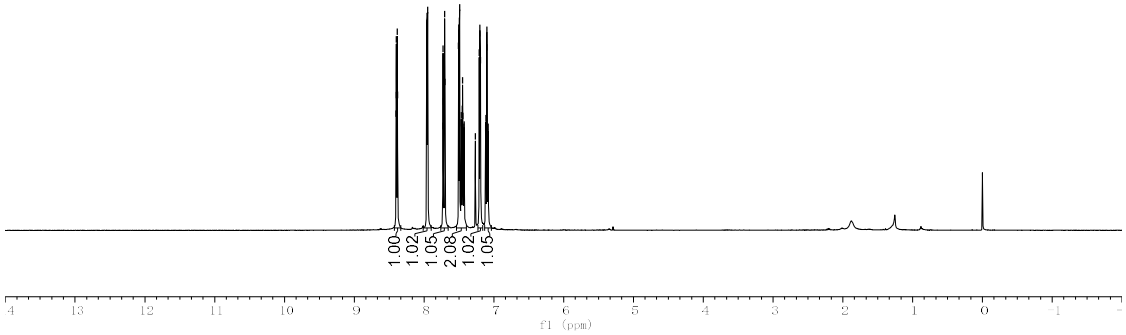
12m,^{13}C NMR, 101 MHz, $CDCl_3$

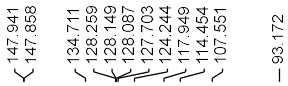


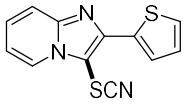




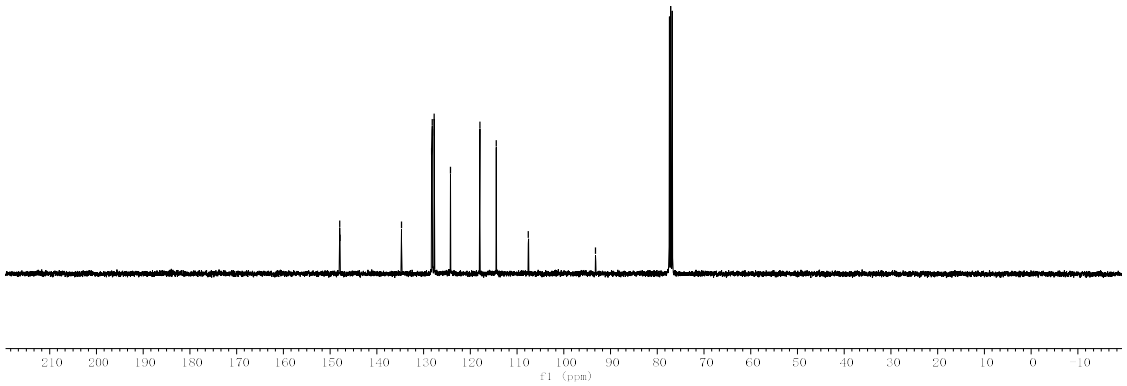
12n,^{1}H NMR, 400 MHz, $CDCl_3$

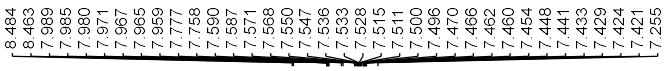


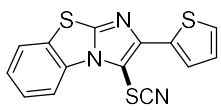




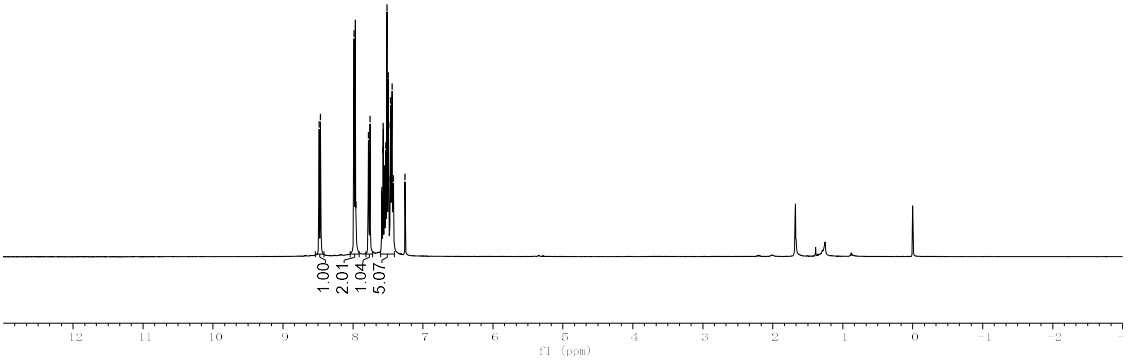
12n,^{13}C NMR, 101 MHz, $CDCl_3$

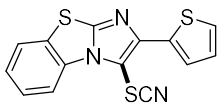




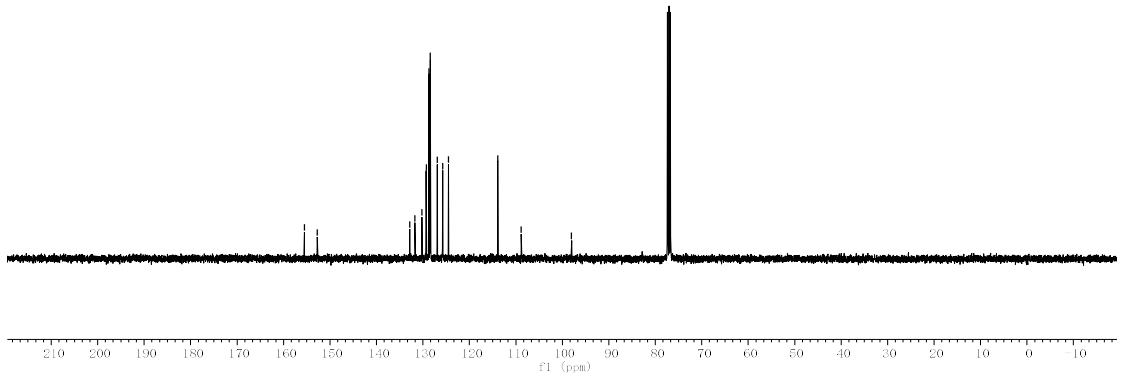


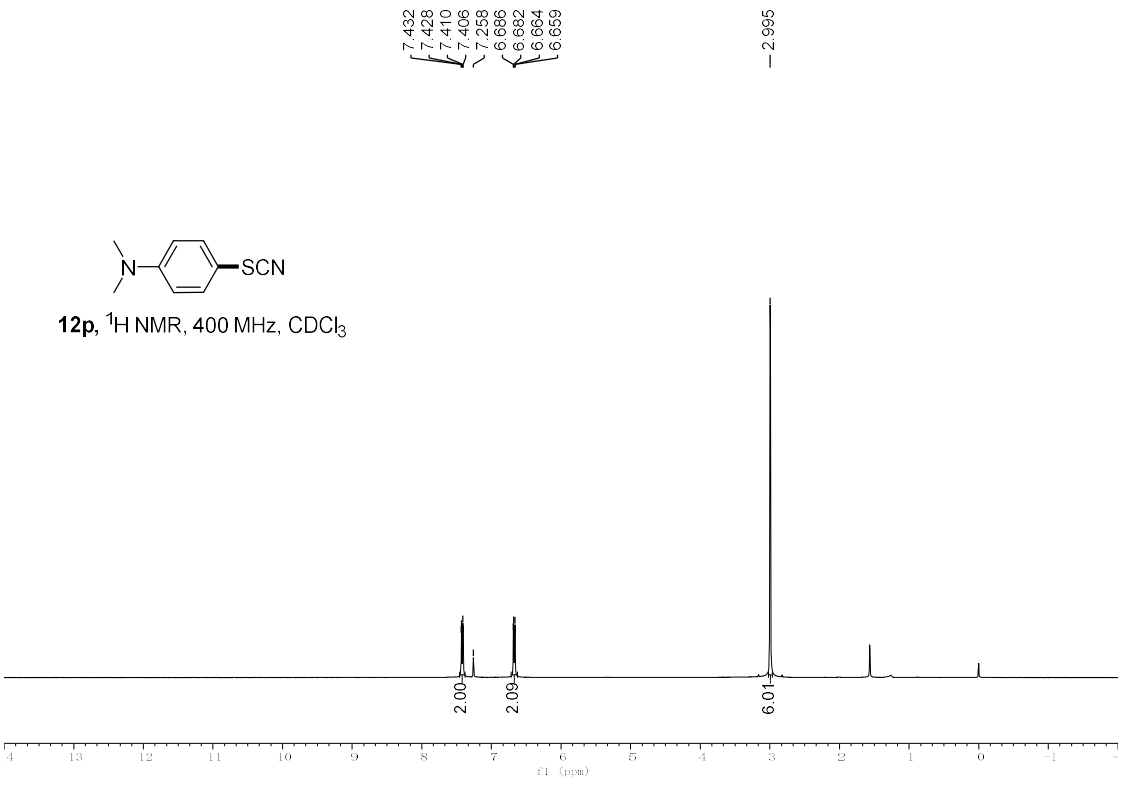
12o, ^{1}H NMR, 400 MHz, $CDCl_3$



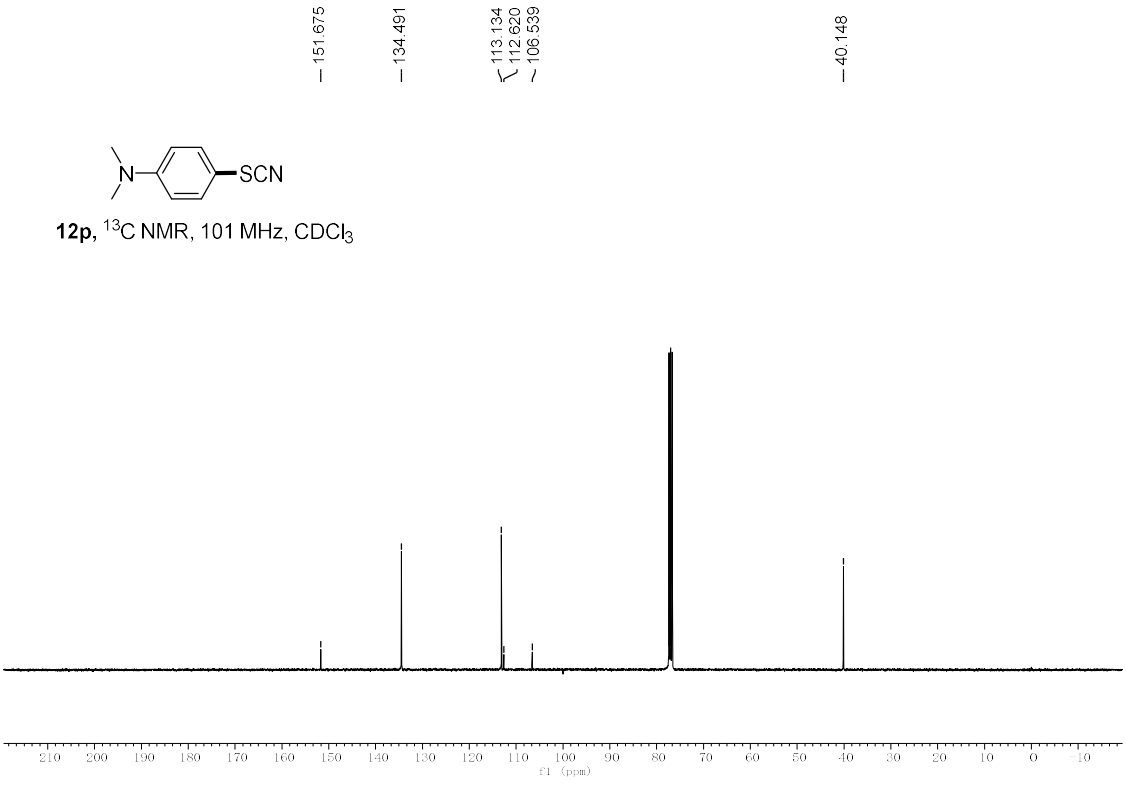


12o, ^{13}C NMR, 101 MHz, $CDCl_3$

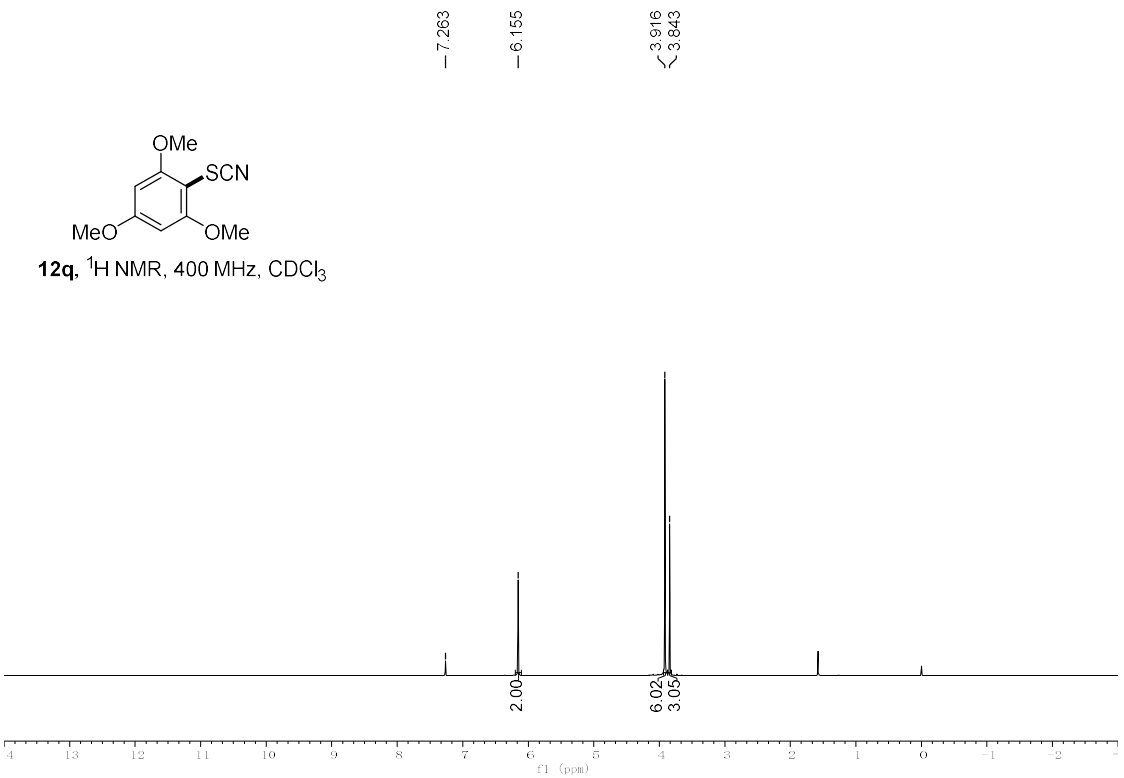




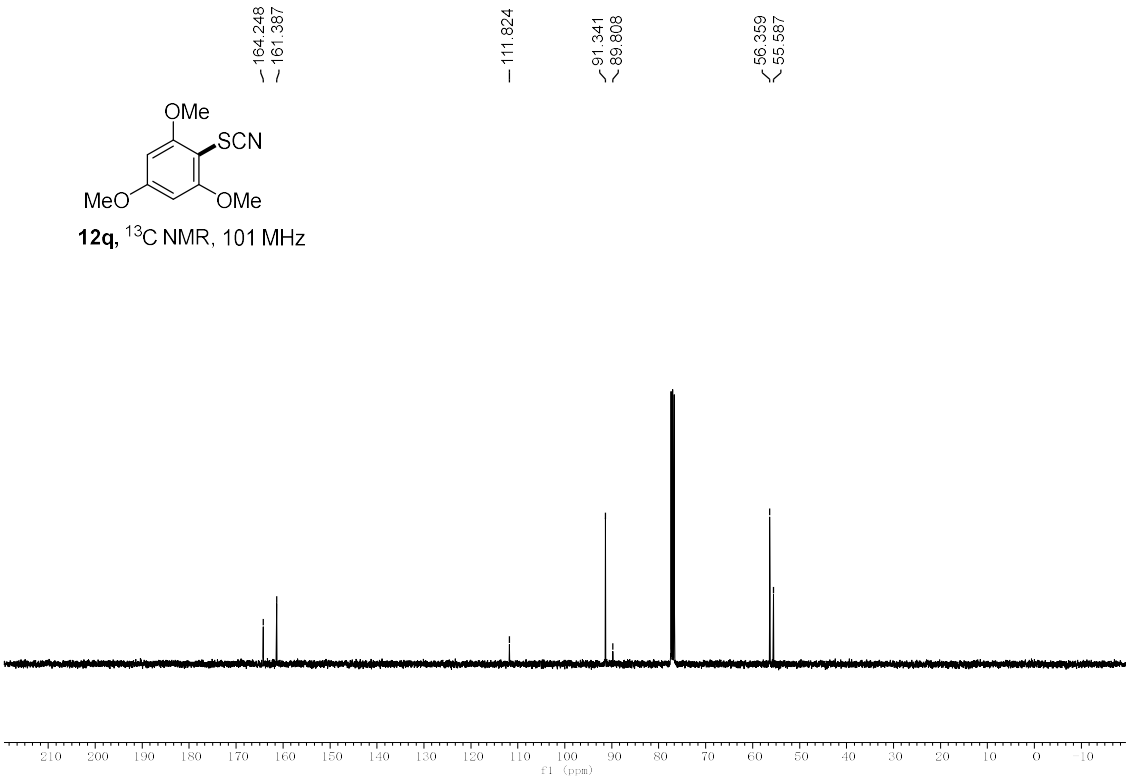
12p, ^{1}H NMR, 400 MHz, $CDCl_3$



12p, ^{13}C NMR, 101 MHz, $CDCl_3$



12q, ^{1}H NMR, 400 MHz, $CDCl_3$



12q, ^{13}C NMR, 101 MHz

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