

## Cobalt-catalyzed C(sp<sup>3</sup>)-H bond functionalization to access indole derivatives

He Zhang, Dandan Yang, Xiao-Fang Zhao, Jun-Long Niu,\* and Mao-Ping Song\*

Green Catalysis Center, and College of Chemistry, Zhengzhou University, Zhengzhou 450001, P. R. China.

Email: niujunlong@zzu.edu.cn, mpsong@zzu.edu.cn.

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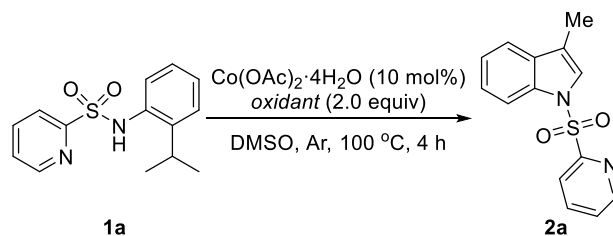
# General Information

$^1\text{H}$  NMR,  $^{13}\text{C}$  NMR and  $^{19}\text{F}$  NMR spectra were recorded at 600 MHz, 151 MHz, and 565 MHz respectively on a Bruker DPX instrument using  $\text{Me}_4\text{Si}$  as an internal standard. High resolution mass spectra (HRMS) for new compounds were measured on a Waters ACQUITY UPLC I-Class PLUS liquid chromatogram coupled with a Waters Xevo G2-XS QToF mass spectrometer. The column was ACQUITY UPLC BEH C18 LC Column (2.1-100 mm, Waters). Melting points were measured on a WC-1 instrument and uncorrected. Chemical shift multiplicities are reported as follows: (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, br = broad, dd = doublet of doublet, dt = doublet of triplet, td = triplet of doublet). Unless otherwise mentioned, all materials were commercially obtained and used without further purification, and all the reactions were performed under the Ar atmosphere unless otherwise noted. The substrates **1** was synthesized according to literature procedures.<sup>1</sup> The hydroxylamine-base compounds (**O1-O8**) were synthesized according to literature procedures.<sup>2</sup>

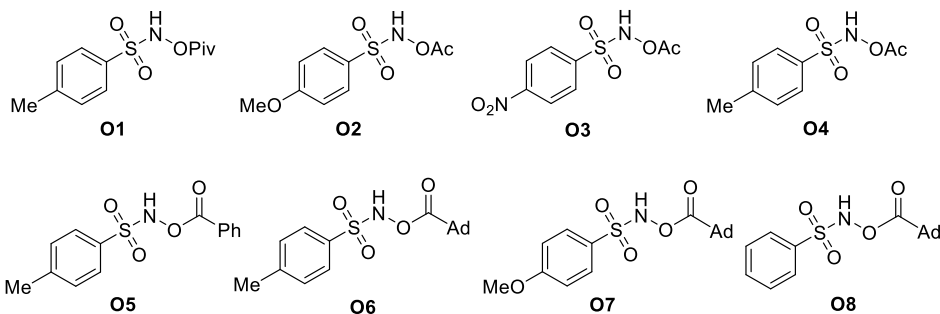
# Experimental Section

## 1. Optimization of reaction conditions

**Table S1 Optimization of oxidants<sup>a</sup>**

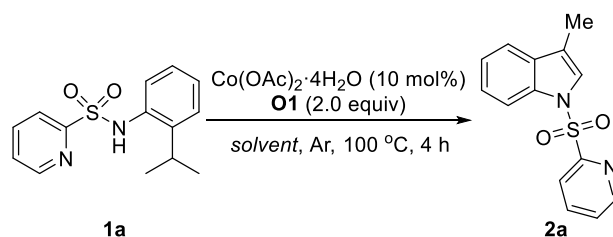


Oxidant	Yield (%)	Oxidant	Yield (%)
-	N.R.	NHPI	N.R.
AgOAc	N.R.	NFSI	N.R.
Ag <sub>2</sub> CO <sub>3</sub>	N.R.	DTBP	N.R.
Mn(OAc) <sub>2</sub> ·4H <sub>2</sub> O	N.R.	<b>O1</b>	<b>46</b>
Mn(OAc) <sub>3</sub> ·2H <sub>2</sub> O	N.R.	<b>O2</b>	28
K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	N.R.	<b>O3</b>	trace
TBHP(in decane)	N.R.	<b>O4</b>	25
PhI(OAc) <sub>2</sub>	trace	<b>O5</b>	33
DDQ	N.R.	<b>O6</b>	29
H <sub>2</sub> N-OSO <sub>3</sub> H	N.R.	<b>O7</b>	41
BPO	N.R.	<b>O8</b>	26



<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), Co(OAc)<sub>2</sub>·4H<sub>2</sub>O (10 mol%), oxidant (2.0 equiv), DMSO (2.0 mL), Ar atmosphere, 4 h, 100 °C, isolated yields.

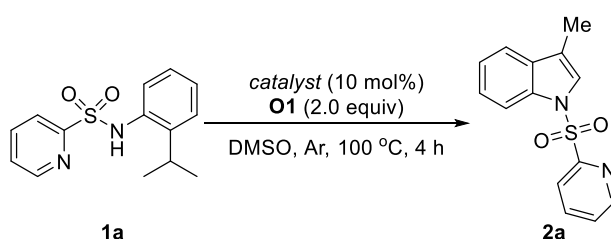
**Table S2 Optimization of solvents<sup>a</sup>**



Entry	Solvent	Yield (%)
<b>1</b>	<b>DMSO</b>	<b>46</b>
2	DMF	36
3	DCE	27
4	DCM	11
5	PhCF <sub>3</sub>	trace
6	THF	5
7	PhNO <sub>2</sub>	N.R.

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), Co(OAc)<sub>2</sub>·4H<sub>2</sub>O (10 mol%), **O1** (2.0 equiv), solvent (2.0 mL), Ar atmosphere, 4 h, 100 °C, isolated yields.

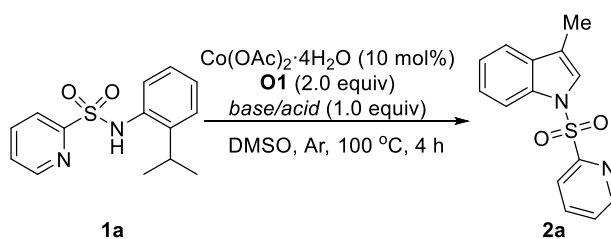
**Table S3 Optimization of catalyst<sup>a</sup>**



Entry	Catalyst	Yield (%)	Entry	Catalyst	Yield (%)
1	Co(acac) <sub>2</sub>	N.R.	10	Co(hfacac) <sub>2</sub>	N.R.
2	Co(acac) <sub>3</sub>	N.R.	11	Co(OOCC <sub>6</sub> H <sub>5</sub> ) <sub>2</sub>	18
3	CoCO <sub>3</sub>	N.R.	12	CoSO <sub>4</sub>	7
4	CoF <sub>2</sub>	N.R.	13	Co(PPh <sub>3</sub> ) <sub>3</sub> Cl <sub>2</sub>	21
5	CoBr <sub>2</sub> ·6H <sub>2</sub> O	15	14	Co(NO <sub>3</sub> ) <sub>2</sub> ·6H <sub>2</sub> O	trace
6	CoI <sub>2</sub>	9	15	CoCl <sub>2</sub> ·6H <sub>2</sub> O	trace
7	Co(ClO <sub>4</sub> ) <sub>2</sub> ·6H <sub>2</sub> O	N.R.	16	Ni(OAc) <sub>2</sub> ·4H <sub>2</sub> O	N.R.
8	Co(salen) <sub>2</sub>	8	17	Pd(OAc) <sub>2</sub>	N.R.
<b>9</b>	<b>Co(OAc)<sub>2</sub>·4H<sub>2</sub>O</b>	<b>46</b>	18	-	N.R.

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), Co(OAc)<sub>2</sub>·4H<sub>2</sub>O (10 mol%), **O1** (2.0 equiv), DMSO (2.0 mL), Ar atmosphere, 4 h, 100 °C, isolated yields.

**Table S4 Optimization of base/acid<sup>a</sup>**

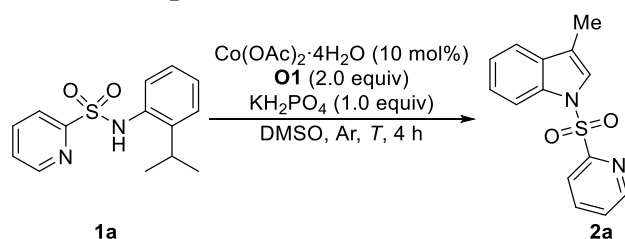


Entry	additive	Yield (%)	Entry	additive	Yield (%)
1	Na <sub>2</sub> CO <sub>3</sub>	24	16	Cs <sub>2</sub> CO <sub>3</sub>	20
2	NaHCO <sub>3</sub>	38	17	(NH <sub>4</sub> ) <sub>2</sub> CO <sub>3</sub>	N.R.
3	NaOAc	38	18	NH <sub>4</sub> HCO <sub>3</sub>	N.R.
4	NaOCH <sub>3</sub>	30	19	DABCO	25
5	NaOPiv·H <sub>2</sub> O	44	20	DMAP	34

6	Na <sub>2</sub> C <sub>2</sub> O <sub>4</sub>	27	21	Et <sub>3</sub> N	25
7	NaF	44	22	(iPr) <sub>2</sub> EtN	trace
8	Na <sub>2</sub> HPO <sub>4</sub> · 12H <sub>2</sub> O	35	23	DBU	37
9	NaH <sub>2</sub> PO <sub>4</sub> · 2H <sub>2</sub> O	N.R.	24	Et <sub>2</sub> NH	34
10	PhCOONa	42	25	PhCOOH	46
11	K <sub>2</sub> HPO <sub>4</sub> · 3H <sub>2</sub> O	53	26	CH <sub>3</sub> COOH	51
<b>12</b>	<b>KH<sub>2</sub>PO<sub>4</sub></b>	<b>54</b>	27	PivOH	53
13	K <sub>2</sub> CO <sub>3</sub>	47	28	1-AdCOOH	45
14	KHCO <sub>3</sub>	39	39	CF <sub>3</sub> COOH	N.R.
15	K <sub>3</sub> PO <sub>4</sub>	trace	30	HCOOH	13

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), Co(OAc)<sub>2</sub> · 4H<sub>2</sub>O (10 mol%), **O1** (2.0 equiv), base/acid (1.0 equiv), DMSO (2.0 mL), Ar atmosphere, 4 h, 100 °C, isolated yields.

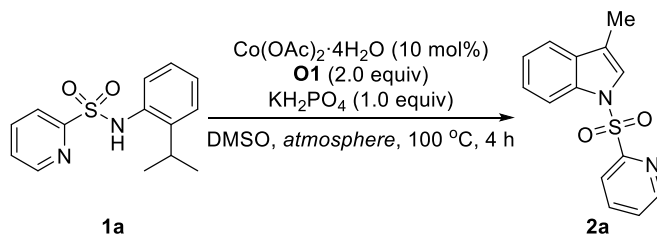
**Table S5 Optimization of temperature<sup>a</sup>**



Entry	T (°C)	Yield (%)
1	70	31
2	80	43
3	90	51
<b>4</b>	<b>100</b>	<b>54</b>
5	110	45
6	120	28
7	130	19

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), Co(OAc)<sub>2</sub> · 4H<sub>2</sub>O (10 mol%), **O1** (2.0 equiv), KH<sub>2</sub>PO<sub>4</sub> (1.0 equiv), DMSO (2.0 mL), Ar atmosphere, 4 h, T, isolated yields.

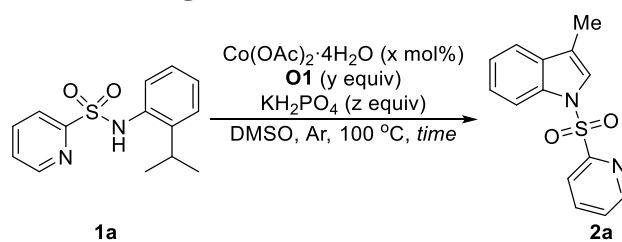
**Table S6 Optimization of atmosphere<sup>a</sup>**



Entry	Atmosphere	Yield (%)
<b>1</b>	<b>Ar</b>	<b>54</b>
2	Air	43
3	O <sub>2</sub>	20

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), Co(OAc)<sub>2</sub> · 4H<sub>2</sub>O (10 mol%), **O1** (2.0 equiv), KH<sub>2</sub>PO<sub>4</sub> (1.0 equiv), DMSO (2.0 mL), atmosphere, 4 h, 100 °C, isolated yields.

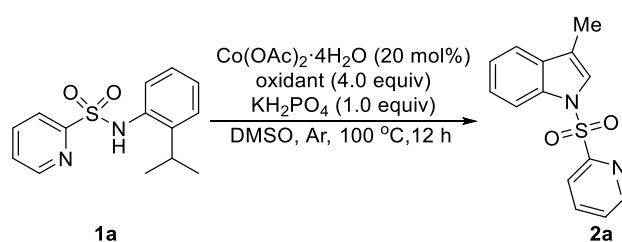
**Table S7 Optimization of dosage and time<sup>a</sup>**



Entry	X	Y	Z	DMSO (mL)	Time/h	Yield (%)
1	10	2	1	2	4	54
2	15	2	1	2	4	53
3	20	2	1	2	4	55
4	20	3	1	2	4	57
5	20	4	1	2	4	58
6	20	4	1	3	4	56
7	20	4	1	4	4	59
8	20	4	1	4	2	44
9	20	4	1	4	6	57
10	20	4	1	4	8	58
11	20	4	1	4	10	66
<b>12</b>	<b>20</b>	<b>4</b>	<b>1</b>	<b>4</b>	<b>12</b>	<b>73</b>
13	20	4	2	4	12	67
14	20	4	3	4	12	66
15	20	4	1	4	14	69
16	20	4	0	4	12	58

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol),  $\text{Co(OAc)}_2 \cdot 4\text{H}_2\text{O}$  (x mol%), **O1** (y equiv),  $\text{KH}_2\text{PO}_4$  (z equiv), DMSO (2.0-4.0 mL), Ar atmosphere, time, 100 °C, isolated yields.

**Table S8 Optimization of oxidant<sup>a</sup>**

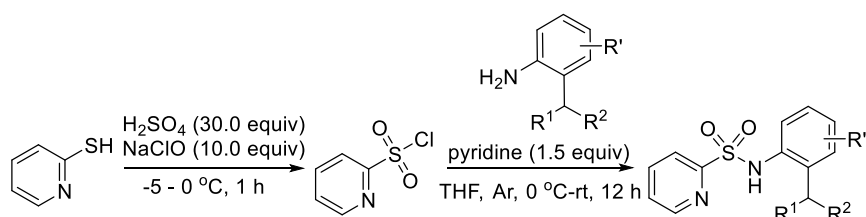


Entry	Oxidant	Yield (%)
<b>1</b>	<b>O1</b>	<b>73</b>
2 <sup>b</sup>	<b>O1</b>	0
3	-	0
4	<b>O2</b>	32
5	<b>O3</b>	10
6	<b>O4</b>	28
7	<b>O5</b>	40
8	<b>O6</b>	37
9	<b>O7</b>	67

10	<b>O8</b>	39
11	Ag <sub>2</sub> CO <sub>3</sub>	0
12	Mn(OAc) <sub>2</sub> ·4H <sub>2</sub> O	0
13	Cu(OAc) <sub>2</sub>	0

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), Co(OAc)<sub>2</sub>·4H<sub>2</sub>O (20 mol%), oxidant (4.0 equiv), KH<sub>2</sub>PO<sub>4</sub> (1.0 equiv), DMSO (4.0 mL), Ar atmosphere, 12 h, 100 °C, isolated yields. <sup>b</sup>no Co(OAc)<sub>2</sub>·4H<sub>2</sub>O.

## 2. General procedure for the synthesis of **1**



The substituent aniline derivatives were synthesized according to literature procedures.<sup>3-8</sup>

According to the literature,<sup>9</sup> a multi-neck flask fitted with an addition funnel and thermometer was charged with 2-mercaptopyridine (1.00 g, 9 mmol) in sulfuric acid (15 mL, 30 equiv) and was cooled to  $-5\text{ }^{\circ}\text{C}$  while open to atmosphere. 13% aqueous sodium hypochlorite (43 mL, 10 equiv) was added dropwise over approximately 40 minutes while maintaining the temperature below  $0\text{ }^{\circ}\text{C}$ . *Warning! This addition generates chlorine gas.* The system must not be closed and should be adequately ventilated. After complete addition, the mixture was stirred for an additional 20 minutes after which it was diluted with 50 mL water and extracted twice with 50 mL ethyl acetate. The organic layer was dried with sodium sulfate and concentrated without further purification to afford colorless oil (1.1 g, 70% yield).

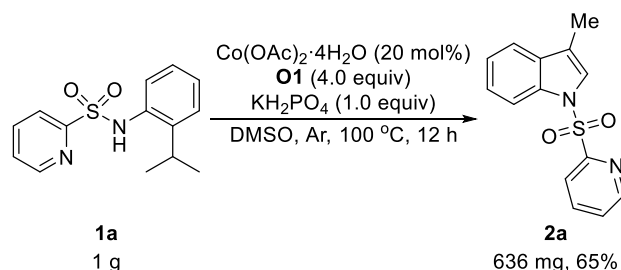
According to the literature,<sup>1</sup> the 2-pyridinesulfonyl chloride (1.5 equiv) was added dropwise to a stirring solution of anilines (1.0 equiv) and pyridine (1.5 equiv) in THF at  $0\text{ }^{\circ}\text{C}$  under Ar atmosphere. The mixture was stirred at room temperature for 12 h and then concentrated under vacuum. The residue was dissolved in EtOAc, washed with HCl (2 N), and extracted with EtOAc. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated under vacuum. The crude product was purified by flash column chromatography (PE/EA = 30/1 to 3/1) to give the corresponding product.

## 3. General procedure for the synthesis of **2**

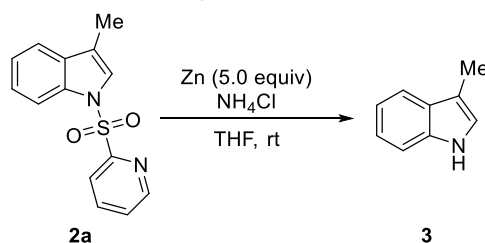
A 10 mL over-dried two-necked Schlenk tube was equipped with a magnetic stir bar and charged with the substrate **1** (0.2 mmol), Co(OAc)<sub>2</sub>·4H<sub>2</sub>O (20 mol%), **O1** (0.8 mmol, 4.0 equiv) and KH<sub>2</sub>PO<sub>4</sub> (0.2 mmol, 1.0 equiv). The reaction vessel was then briefly evacuated and backfilled with argon (this sequence was repeated a total of three times). Anhydrous solvent DMSO (4.0 mL) was added to the reaction vessel via syringe. The vessel was heated at  $100\text{ }^{\circ}\text{C}$  for 12 h, and cooled down to room temperature. Next, the reaction mixture was diluted with saturated NaHCO<sub>3</sub>. The resulting aqueous suspension was extracted with EtOAc. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated under vacuum. The crude product was

purified by preparative TLC on silica gel (PE/EA = 5/1) to give the corresponding product **2**.

## 4. Gram scale and removal of the directing group experiments



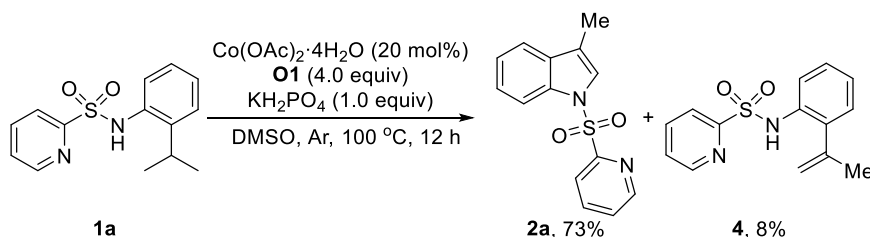
A 100 mL over-dried two-necked Schlenk bottle was equipped with a magnetic stir bar and charged with the substrate **1a** (3.6 mmol, 1 g),  $\text{Co}(\text{OAc})_2 \cdot 4\text{H}_2\text{O}$  (20 mol%, 179 mg), **O1** (14.4 mmol, 4.0 equiv, 3.9 g) and  $\text{KH}_2\text{PO}_4$  (0.2 mmol, 1.0 equiv, 489.6 mg). The reaction vessel was then briefly evacuated and backfilled with argon (this sequence was repeated a total of three times). Anhydrous solvent DMSO (48.0 mL) was added to the reaction vessel via syringe. The vessel was heated at 100 °C for 12 h, and cooled down to room temperature. Next, the reaction mixture was diluted with saturated  $\text{NaHCO}_3$ . The resulting aqueous suspension was extracted with EtOAc. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated under vacuum. The crude product was purified by flash column chromatography (PE/EA = 50/1 to 5/1) to give the corresponding product **2a** (636 mg, 65%).



According to the literature,<sup>10</sup> a suspension of 3-methyl-1-(pyridin-2-ylsulfonyl)-1*H*-indole **2a** (0.2 mmol) and activated powdered Zn (692 mg, 10 mmol) in a 1:1 mixture of THF/sat aq  $\text{NH}_4\text{Cl}$  (4 mL) was stirred at room temperature until consumption of the starting material (TLC monitoring, typically 72 h). The mixture was diluted with EtOAc and filtered through a pad of Celite to remove the residual Zn. The filtrate was washed with brine, dried over anhydrous sodium sulfate, and concentrated under vacuum. The residue was purified by preparative TLC on silica gel (PE/EA = 10/1) to give the corresponding product 3-methyl-1*H*-indole **3** (22.5mg, 86%). The obtained  $^1\text{H}$  NMR spectra of **3** was consistent with the data reported in the literature.<sup>11</sup>  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.82 (s, 1H), 7.58 (d,  $J$  = 7.9 Hz, 1H), 7.32 (d,  $J$  = 8.1 Hz, 1H), 7.20 – 7.15 (m, 1H), 7.14 – 7.07 (m, 1H), 6.94 (d,  $J$  = 0.9 Hz, 1H), 2.33 (d,  $J$  = 1.0 Hz, 3H).

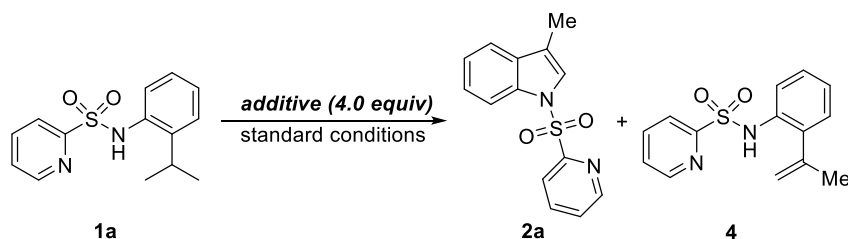


## 5. Reaction analysis



A 10 mL over-dried two-necked Schlenk tube was equipped with a magnetic stir bar and charged with the substrate **1a** (0.2 mmol, 55.2 mg),  $\text{Co}(\text{OAc})_2 \cdot 4\text{H}_2\text{O}$  (20 mol%, 10 mg), **O1** (0.8 mmol, 4.0 equiv, 216.8 mg) and  $\text{KH}_2\text{PO}_4$  (0.2 mmol, 1.0 equiv, 27.2 mg). The reaction vessel was then briefly evacuated and backfilled with argon (this sequence was repeated a total of three times). Anhydrous solvent DMSO (4.0 mL) was added to the reaction vessel via syringe. The vessel was heated at 100 °C for 12 h, and cooled down to room temperature. Next, the reaction mixture was diluted with saturated  $\text{NaHCO}_3$ . The resulting aqueous suspension was extracted with EtOAc. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated under vacuum. Product was purified by preparative TLC on silica gel (PE/EA = 5/1). **1a** (5.5 mg) was recovered in 10% yield. 73% of the indole product **2a** (39.7 mg) and 8% of the dehydrogenation product **4** (4.5 mg) were obtained.

## 6. Control experiments and mechanistic studies

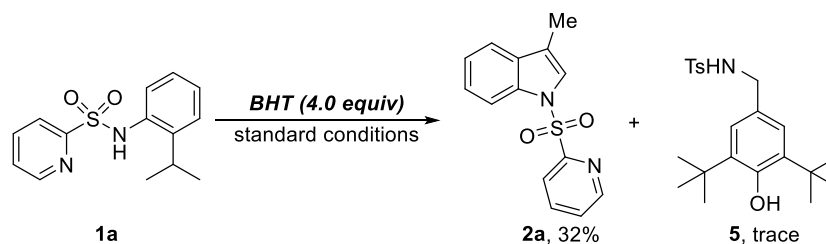


Entry	Additive	Recovery of <b>1a</b> (%)	Yield of <b>2a</b> (%) <sup>a</sup>	Yield of <b>4</b> (%)
1	TEMPO	32	31	20
2	BQ	89	0	trace
3	1,1-diphenylethylene	36	35	14

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol),  $\text{Co}(\text{OAc})_2 \cdot 4\text{H}_2\text{O}$  (20 mol%), **O1** (4.0 equiv), additive (4.0 equiv),  $\text{KH}_2\text{PO}_4$  (1.0 equiv), DMSO (4.0 mL), Ar atmosphere, 12 h, 100 °C, isolated yields.

A 10 mL over-dried two-necked Schlenk tube was equipped with a magnetic stir bar and charged with the substrate **1a** (0.2 mmol, 55.2 mg),  $\text{Co}(\text{OAc})_2 \cdot 4\text{H}_2\text{O}$  (20 mol%, 10 mg), **O1** (0.8 mmol, 4.0 equiv, 216.8 mg) additive (0.8 mmol, 4.0 equiv) and  $\text{KH}_2\text{PO}_4$  (0.2 mmol, 1.0 equiv, 27.2 mg). The reaction vessel was then briefly evacuated and backfilled with argon (this sequence was repeated a total of three times). Anhydrous solvent DMSO (4.0 mL) was added to the reaction vessel via syringe. The vessel was heated at 100 °C for 12 h, and cooled down to room temperature. Next, the reaction mixture was diluted with saturated  $\text{NaHCO}_3$ . The resulting aqueous suspension was extracted with EtOAc. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated under vacuum. The crude product was purified

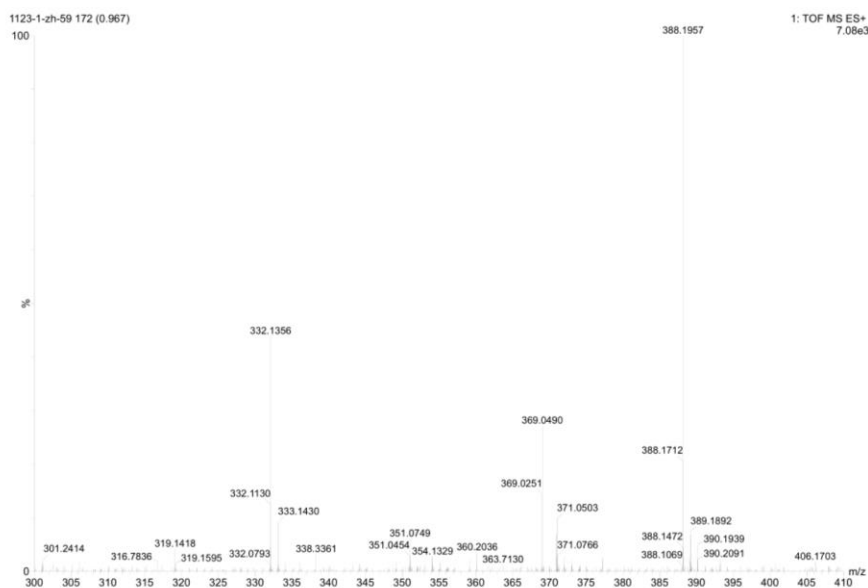
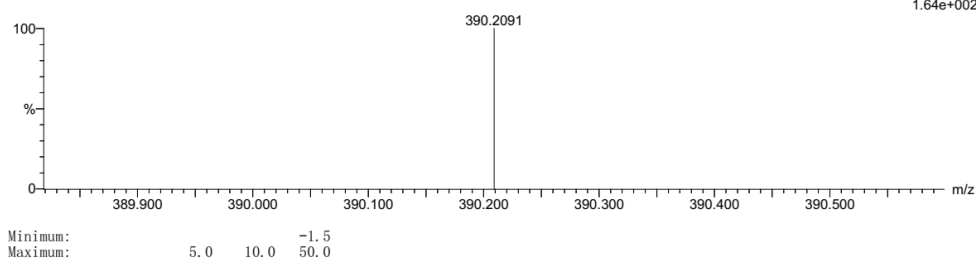
by preparative TLC on silica gel (PE/EA = 5/1) to give the corresponding product.



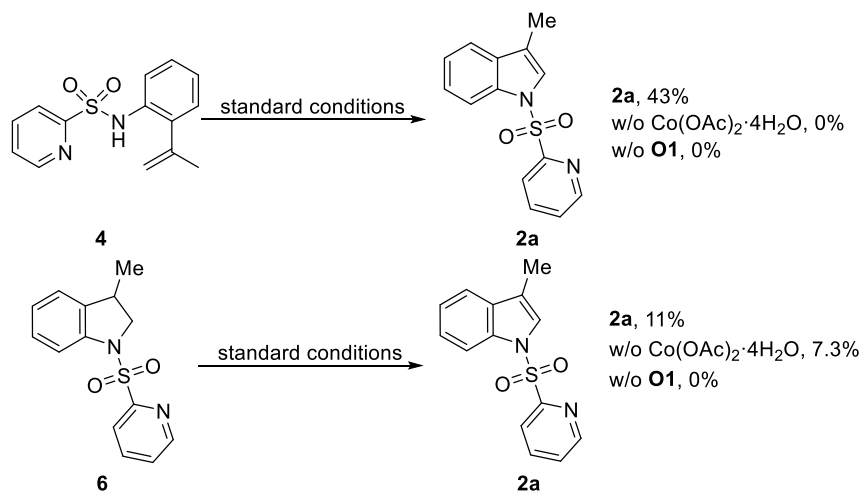
A 10 mL over-dried two-necked Schlenk tube was equipped with a magnetic stir bar and charged with the substrate **1a** (0.2 mmol, 55.2 mg), Co(OAc)<sub>2</sub>·4H<sub>2</sub>O (20 mol%, 10 mg), **O1** (0.8 mmol, 4.0 equiv, 216.8 mg) BHT (0.8 mmol, 4.0 equiv, 176.3 mg) and KH<sub>2</sub>PO<sub>4</sub> (0.2 mmol, 1.0 equiv, 27.2 mg). The reaction vessel was then briefly evacuated and backfilled with argon (this sequence was repeated a total of three times). Anhydrous solvent DMSO (4.0 mL) was added to the reaction vessel via syringe. The vessel was heated at 100 °C for 12 h, and cooled down to room temperature. Next, the reaction mixture was diluted with saturated NaHCO<sub>3</sub>. The resulting aqueous suspension was extracted with EtOAc. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated under vacuum. The crude product was purified by preparative TLC on silica gel (PE/EA = 5/1) to give the corresponding product **2a** (17.4 mg, 32%) and the traces amount of compound **5**. Then, we successfully detected the TsNH-BHT adduct **5** by HRMS, illustrating the radical TsNH• was involved in the reaction process. The HRMS data for the compound **5**, HRMS (ESI) *m/z* calcd for C<sub>22</sub>H<sub>31</sub>NO<sub>3</sub>S+H<sup>+</sup>: 390.2098 [M+H]<sup>+</sup>; found: 390.2091.

#### HRMS data for the compound **5**

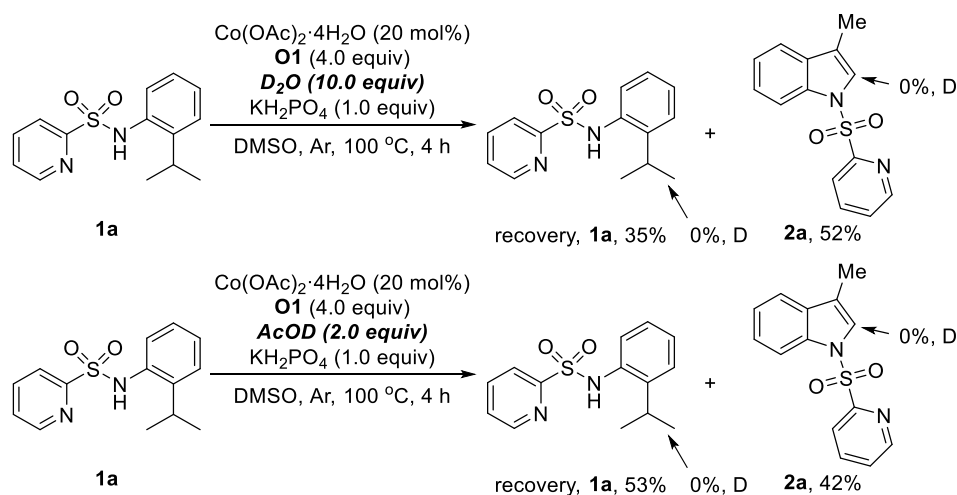
1123-1-zh-59 172 (0.967)  
1: TOF MS ES+



## Control experiments

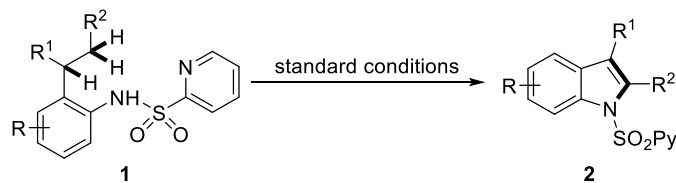


## H/D exchange experiment



A 10 mL over-dried two-necked Schlenk tube was equipped with a magnetic stir bar and charged with the substrate **1a** (0.2 mmol, 55.2 mg),  $\text{Co}(\text{OAc})_2 \cdot 4\text{H}_2\text{O}$  (20 mol%, 10 mg), **O1** (0.8 mmol, 4.0 equiv, 216.8 mg),  $\text{D}_2\text{O}$  (2 mmol, 10.0 equiv, 36  $\mu\text{L}$ ) or **AcOD** (0.4 mmol, 2.0 equiv, 23  $\mu\text{L}$ ), and  $\text{KH}_2\text{PO}_4$  (0.2 mmol, 1.0 equiv, 27.2 mg). The reaction vessel was then briefly evacuated and backfilled with argon (this sequence was repeated a total of three times). Anhydrous solvent DMSO (4.0 mL) was added to the reaction vessel via syringe. The vessel was heated at 100 °C for 4 h, and cooled down to room temperature. Next, the reaction mixture was diluted with saturated  $\text{NaHCO}_3$ . The resulting aqueous suspension was extracted with EtOAc. The organic layer was washed with brine, dried over anhydrous sodium sulfate, and concentrated under vacuum. The crude product was purified by preparative TLC on silica gel (PE/EA = 5/1) to give the recovered substrate **1a** (19.3 mg, 35%) or **1a** (29.3 mg, 53%) and the corresponding product **2a** (28.3 mg, 52%) or **2a** (22.9 mg, 42%).  $^1\text{H}$  NMR analysis showed that the H contents in the recovered **1a** and the product **2a** were greater than 99%.

## 7. Unsuccessful reaction



Entry	Substrate <b>1</b>	Product <b>2</b>	yield (%) <sup>a</sup>
1			trace
2			0
3			0

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), Co(OAc)<sub>2</sub> 4H<sub>2</sub>O (20 mol%), **O1** (4.0 equiv), KH<sub>2</sub>PO<sub>4</sub> (1.0 equiv), DMSO (4.0 mL), Ar atmosphere, 12 h, 100 °C, isolated yields.

## Characterization Data

### Characterization of substrates

**N-(2-isopropylphenyl)pyridine-2-sulfonamide (1a):** Follow by the general procedure **2**, the 2-isopropylaniline (10 mmol, 1.35g) was utilized as the starting material. white solid (2.3 g, 83%), mp: 140-141 °C, R<sub>f</sub> = 0.5 (PE/EA = 3/1). <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 8.75 (d, *J* = 4.6 Hz, 1H), 7.85 (d, *J* = 7.7 Hz, 1H), 7.81 (t, *J* = 7.6 Hz, 1H), 7.53 – 7.44 (m, 1H), 7.23 – 7.18 (m, 2H), 7.15 (t, *J* = 7.5 Hz, 1H), 7.09 – 7.03 (m, 1H), 6.94 (s, 1H), 3.30 – 3.20 (m, 1H), 1.08 (d, *J* = 6.8 Hz, 6H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 156.8, 150.2, 143.5, 137.8, 132.3, 127.2, 126.9, 126.4, 126.3, 125.6, 123.1, 27.2, 23.3. HRMS (ESI) *m/z* calcd for C<sub>14</sub>H<sub>16</sub>N<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 277.1005 [M+H]<sup>+</sup>; found: 277.1010.

**N-(4-chloro-2-isopropylphenyl)pyridine-2-sulfonamide (1b):** Follow by the general procedure **2**, the 4-chloro-2-isopropylaniline (2.7 mmol, 456.3 mg) was utilized as the starting material. white solid (602 mg, 72%), mp: 124-125 °C, R<sub>f</sub> = 0.5 (PE/EA = 3/1). <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 8.74 (d, *J* = 4.8 Hz, 1H), 7.88 – 7.79 (m, 2H), 7.52 – 7.46 (m, 1H), 7.20 – 7.12 (m, 2H), 7.05 – 6.99 (m, 2H), 3.32 – 3.16 (m, 1H), 1.07 (d, *J* = 6.8 Hz, 6H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 156.6, 150.2, 145.7, 138.0, 133.0, 130.9, 127.2, 127.0, 126.6, 126.5, 123.2, 27.5, 23.2. HRMS (ESI) *m/z* calcd for C<sub>14</sub>H<sub>15</sub>ClN<sub>2</sub>O<sub>2</sub>S+H<sup>+</sup>: 311.0616 [M+H]<sup>+</sup>; found: 311.0626.

***N*-(4-bromo-2-isopropylphenyl)pyridine-2-sulfonamide (1c):** Follow by the general procedure 2, the 4-bromo-2-isopropylaniline (2.34 mmol, 498 mg) was utilized as the starting material. white solid (646 mg, 78%), mp: 139-140 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.73 (d,  $J = 4.4$  Hz, 1H), 7.88 – 7.81 (m, 2H), 7.53 – 7.48 (m, 1H), 7.45 – 7.37 (m, 1H), 7.31 (d,  $J = 2.3$  Hz, 1H), 7.21 – 7.14 (m, 1H), 7.14 – 7.06 (m, 1H), 3.27 (dd,  $J = 8.7, 4.4$  Hz, 1H), 1.06 (dd,  $J = 6.8, 1.4$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.6, 150.2, 146.1, 138.1, 131.5, 129.6, 129.5, 127.5, 127.1, 123.2, 121.1, 27.5, 23.2. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{15}\text{BrN}_2\text{O}_2\text{S}+\text{H}^+$ : 355.0111 [ $M+\text{H}$ ] $^+$ ; found: 355.0122.

***N*-(4-iodo-2-isopropylphenyl)pyridine-2-sulfonamide (1d):** Follow by the general procedure 2, the 4-iodo-2-isopropylaniline (1.8 mmol, 469.8 mg) was utilized as the starting material. white solid (434 mg, 60%), mp: 155-156 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.73 (d,  $J = 4.6$  Hz, 1H), 7.90 – 7.80 (m, 2H), 7.52 – 7.46 (m, 2H), 7.36 (dt,  $J = 11.7, 5.8$  Hz, 1H), 7.12 (s, 1H), 6.99 (d,  $J = 8.5$  Hz, 1H), 3.24 – 3.14 (m, 1H), 1.06 (d,  $J = 6.8$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.5, 150.2, 145.6, 138.1, 135.6, 135.5, 132.3, 127.3, 127.2, 127.1, 123.1, 92.4, 27.3, 23.2. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{15}\text{IN}_2\text{O}_2\text{S}+\text{H}^+$ : 402.9972 [ $M+\text{H}$ ] $^+$ ; found: 402.9976.

***N*-(3-isopropyl-[1,1'-biphenyl]-4-yl)pyridine-2-sulfonamide (1e):** Follow by the general procedure 2, the 3-isopropyl-[1,1'-biphenyl]-4-amine (2.84 mmol, 599 mg) was utilized as the starting material. white solid (669 mg, 67%), mp: 125-126 °C,  $R_f = 0.45$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.76 (d,  $J = 4.3$  Hz, 1H), 7.89 (d,  $J = 7.8$  Hz, 1H), 7.83 (ddd,  $J = 13.1, 9.6, 4.7$  Hz, 1H), 7.52 – 7.47 (m, 3H), 7.41 (dt,  $J = 7.7, 6.8$  Hz, 3H), 7.32 (dd,  $J = 15.0, 7.6$  Hz, 1H), 7.29 – 7.27 (m, 2H), 7.04 (s, 1H), 3.35 – 3.25 (m, 1H), 1.14 (d,  $J = 6.9$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.9, 150.2, 143.8, 140.5, 140.1, 137.9, 131.6, 128.8, 127.4, 127.0, 126.9, 125.9, 125.1, 125.0, 123.2, 27.4, 23.4. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{20}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 353.1318 [ $M+\text{H}$ ] $^+$ ; found: 353.1328.

***(E)*-*N*-(2-isopropyl-4-styrylphenyl)pyridine-2-sulfonamide (1f):** Follow by the general procedure 2, the (*E*)-2-isopropyl-4-styrylaniline (3.15 mmol, 747 mg) was utilized as the starting material. white solid (703 mg, 59%), mp: 149-150 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.75 (d,  $J = 4.3$  Hz, 1H), 7.86 (d,  $J = 7.8$  Hz, 1H), 7.82 (td,  $J = 7.7, 1.5$  Hz, 1H), 7.51 – 7.45 (m, 3H), 7.34 (t,  $J = 7.7$  Hz, 2H), 7.29 (s, 1H), 7.26 – 7.25 (m, 1H), 7.24 (s, 2H), 7.04 (s, 1H), 7.01 (s, 2H), 3.24 (dq,  $J = 13.6, 6.8$  Hz, 1H), 1.12 (d,  $J = 6.8$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.8, 150.2, 143.5, 137.9, 137.1, 136.2, 131.6, 128.9, 128.7, 127.9, 127.7, 126.9, 126.5, 125.8, 124.6, 124.2, 123.2, 27.3, 23.3. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{22}\text{H}_{22}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 379.1475 [ $M+\text{H}$ ] $^+$ ; found: 379.1482.

***N*-(2-isopropyl-4-(phenylethynyl)phenyl)pyridine-2-sulfonamide (1g):** Follow by the general procedure 2, the 2-isopropyl-4-(phenylethynyl)aniline (1.85 mmol, 435 mg) was utilized as the starting material. yellow solid (410 mg, 59%), mp: 161-162 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.73 (dd,  $J = 4.7, 0.6$  Hz, 1H), 7.87 (d,  $J = 7.8$  Hz, 1H), 7.83 (td,  $J = 7.7, 1.7$  Hz, 1H), 7.52 – 7.45 (m, 3H), 7.36 – 7.31 (m, 4H), 7.30 (d,  $J = 8.3$  Hz, 1H), 7.23 (dd,  $J = 8.3, 1.9$  Hz, 1H), 6.97 (s, 1H), 3.23 – 3.14 (m, 1H), 1.12 (d,  $J = 6.8$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.6, 150.2, 142.4, 137.9, 132.5, 131.6, 129.7, 129.7, 128.4, 127.0, 124.6, 123.2, 123.1, 121.7, 89.7, 88.9, 27.2, 23.1. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{22}\text{H}_{20}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 377.1318 [ $M+\text{H}$ ] $^+$ ; found: 377.1329.

***N*-(4-(furan-3-yl)-2-isopropylphenyl)pyridine-2-sulfonamide (1h):** Follow by the general procedure 2, the 4-(furan-3-yl)-2-isopropylaniline (3.3 mmol, 663 mg) was utilized as the starting

material. yellow solid (688 mg, 61%), mp: 142-143 °C,  $R_f = 0.4$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.76 (d,  $J = 4.1$  Hz, 1H), 7.86 (d,  $J = 7.8$  Hz, 1H), 7.82 (td,  $J = 7.7, 1.6$  Hz, 1H), 7.66 (s, 1H), 7.48 (ddd,  $J = 7.5, 4.7, 1.1$  Hz, 1H), 7.44 (dd,  $J = 5.6, 4.0$  Hz, 1H), 7.28 (d,  $J = 1.9$  Hz, 1H), 7.22 (d,  $J = 8.3$  Hz, 1H), 7.17 (dd,  $J = 8.3, 2.0$  Hz, 1H), 7.02 (s, 1H), 6.62 (d,  $J = 0.9$  Hz, 1H), 3.32 – 3.21 (m, 1H), 1.11 (d,  $J = 6.9$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.8, 150.2, 144.2, 143.7, 138.6, 137.9, 131.5, 131.1, 126.9, 126.4, 125.9, 123.9, 123.7, 123.2, 108.8, 27.3, 23.4. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{18}\text{H}_{18}\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 343.1111 [ $M+\text{H}$ ] $^+$ ; found: 343.1121.

***N*-(2-isopropyl-4-(thiophen-3-yl)phenyl)pyridine-2-sulfonamide (1i)**: Follow by the general procedure 2, the 2-isopropyl-4-(thiophen-3-yl)aniline (3.44 mmol, 746 mg) was utilized as the starting material. yellow solid (800 mg, 65%), mp: 141-142 °C,  $R_f = 0.4$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.75 (dt,  $J = 14.4, 5.6$  Hz, 1H), 7.86 (t,  $J = 7.7$  Hz, 1H), 7.82 (td,  $J = 7.7, 1.7$  Hz, 1H), 7.52 – 7.45 (m, 1H), 7.40 (d,  $J = 1.9$  Hz, 1H), 7.37 (ddd,  $J = 7.9, 3.9, 2.2$  Hz, 2H), 7.29 (ddd,  $J = 10.3, 6.6, 1.7$  Hz, 2H), 7.27 – 7.25 (m, 1H), 6.92 (s, 1H), 3.31 – 3.22 (m, 1H), 1.12 (d,  $J = 6.9$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.8, 150.2, 143.8, 141.7, 137.9, 134.8, 131.3, 126.9, 126.4, 126.2, 126.0, 124.5, 124.3, 123.2, 120.4, 27.4, 23.4. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{18}\text{H}_{18}\text{N}_2\text{O}_2\text{S}_2+\text{H}^+$ : 359.0883 [ $M+\text{H}$ ] $^+$ ; found: 359.0892.

***N*-(2-isopropyl-4-(naphthalen-1-yl)phenyl)pyridine-2-sulfonamide (1j)**: Follow by the general procedure 2, the 2-isopropyl-4-(naphthalen-1-yl)aniline (4.17 mmol, 1.1g) was utilized as the starting material. yellow solid (905 mg, 54%), mp: 176-177 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.79 (dd,  $J = 4.7, 0.7$  Hz, 1H), 7.97 (d,  $J = 7.9$  Hz, 1H), 7.88 (td,  $J = 7.9, 1.7$  Hz, 2H), 7.84 (d,  $J = 8.2$  Hz, 1H), 7.79 (d,  $J = 8.5$  Hz, 1H), 7.56 – 7.45 (m, 3H), 7.44 – 7.38 (m, 1H), 7.36 – 7.30 (m, 3H), 7.20 (dd,  $J = 8.1, 2.0$  Hz, 1H), 6.96 (s, 1H), 3.39 – 3.27 (m, 1H), 1.14 (d,  $J = 6.8$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  157.0, 150.3, 143.3, 139.6, 137.9, 133.8, 131.5, 131.4, 128.4, 128.1, 128.1, 127.8, 126.9, 126.9, 126.1, 125.8, 125.7, 125.3, 123.1, 27.4, 23.4. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{24}\text{H}_{22}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 403.1475 [ $M+\text{H}$ ] $^+$ ; found: 403.1483.

***N*-(2-isopropyl-4-methoxyphenyl)pyridine-2-sulfonamide (1k)**: Follow by the general procedure 2, the 2-isopropyl-4-methoxyaniline (2.5 mmol, 412.5 mg) was utilized as the starting material. white solid (574 mg, 75%), mp: 149-150 °C,  $R_f = 0.4$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.77 (d,  $J = 4.7$  Hz, 1H), 7.93 – 7.73 (m, 2H), 7.59 – 7.37 (m, 1H), 7.00 (d,  $J = 8.8$  Hz, 1H), 6.73 (t,  $J = 9.7$  Hz, 2H), 6.56 (dd,  $J = 8.8, 2.9$  Hz, 1H), 3.74 (s, 3H), 3.33 – 3.21 (m, 1H), 1.05 (d,  $J = 6.9$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  159.0, 157.0, 150.2, 147.2, 137.8, 128.6, 126.8, 124.8, 123.2, 112.1, 111.1, 55.3, 27.6, 23.4. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{15}\text{H}_{18}\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 307.1111 [ $M+\text{H}$ ] $^+$ ; found: 307.1120.

***N*-(2-isopropyl-4-phenethylphenyl)pyridine-2-sulfonamide (1l)**: Follow by the general procedure 2, the 2-isopropyl-4-phenethylaniline (1.2 mmol, 287 mg) was utilized as the starting material. white solid (410 mg, 90%), mp: 141-142 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.79 – 8.71 (m, 1H), 7.86 – 7.77 (m, 2H), 7.51 – 7.44 (m, 1H), 7.24 (t,  $J = 7.4$  Hz, 2H), 7.17 (t,  $J = 7.4$  Hz, 1H), 7.08 (dd,  $J = 12.1, 7.6$  Hz, 3H), 6.91 – 6.84 (m, 2H), 6.75 (s, 1H), 3.26 – 3.14 (m, 1H), 2.89 – 2.79 (m, 4H), 1.02 (d,  $J = 6.9$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.9, 150.2, 143.7, 141.4, 140.9, 137.8, 129.9, 128.5, 128.3, 126.8, 126.4, 126.4, 125.9, 125.9, 123.1, 37.7, 37.6, 27.2, 23.3. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{22}\text{H}_{24}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 381.1631 [ $M+\text{H}$ ] $^+$ ; found: 381.1640.

***N*-(2-isopropyl-5-nitrophenyl)pyridine-2-sulfonamide (1m)**: Follow by the general procedure 2, the 2-isopropyl-5-nitroaniline (4 mmol, 720 mg) was utilized as the starting material. white solid

(899 mg, 70%), mp: 158-159 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.79 – 8.74 (m, 1H), 8.13 (d,  $J = 2.4$  Hz, 1H), 8.00 (dd,  $J = 8.6, 2.3$  Hz, 1H), 7.96 (d,  $J = 7.8$  Hz, 1H), 7.90 (td,  $J = 7.7, 1.7$  Hz, 1H), 7.59 (s, 1H), 7.57 – 7.52 (m, 1H), 7.39 (d,  $J = 8.7$  Hz, 1H), 3.42 (hept,  $J = 6.8$  Hz, 1H), 1.16 (d,  $J = 6.8$  Hz, 6H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.3, 150.4, 146.2, 138.3, 133.7, 127.4, 127.2, 123.2, 121.6, 120.0, 119.9, 27.9, 22.9. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{15}\text{N}_3\text{O}_4\text{S}+\text{H}^+$ : 322.0856  $[\text{M}+\text{H}]^+$ ; found: 322.0863.

***N*-2-(1-(1-phenylethyl)phenyl)pyridine-2-sulfonamide (1n)**: Follow by the general procedure **2**, the 2-(1-phenylethyl)aniline (1.75 mmol, 345 mg) was utilized as the starting material. white solid (355 mg, 60%), mp: 148-149 °C,  $R_f = 0.55$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.73 – 8.68 (m, 1H), 7.88 – 7.79 (m, 2H), 7.47 (ddd,  $J = 7.3, 4.7, 1.4$  Hz, 1H), 7.28 (ddd,  $J = 6.8, 3.7, 2.2$  Hz, 4H), 7.19 (ddd,  $J = 8.8, 7.5, 1.3$  Hz, 2H), 7.16 – 7.10 (m, 3H), 6.63 (s, 1H), 4.35 (q,  $J = 7.1$  Hz, 1H), 1.49 (d,  $J = 7.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  157.1, 150.2, 144.8, 140.0, 137.9, 133.6, 128.9, 127.6, 127.5, 127.1, 126.9, 126.8, 126.6, 125.6, 122.9, 39.2, 21.7. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{19}\text{H}_{18}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 339.1162  $[\text{M}+\text{H}]^+$ ; found: 339.1167.

***N*-2-(1-(4-methoxyphenyl)ethyl)phenyl)pyridine-2-sulfonamide (1o)**: Follow by the general procedure **2**, the 2-(1-(4-methoxyphenyl)ethyl)aniline (1.8 mmol, 409 mg) was utilized as the starting material. white solid (550 mg, 83%), mp: 177-178 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.74 (d,  $J = 4.5$  Hz, 1H), 7.88 – 7.79 (m, 2H), 7.51 – 7.46 (m, 1H), 7.29 – 7.25 (m, 2H), 7.18 (t,  $J = 7.4$  Hz, 1H), 7.13 (d,  $J = 7.2$  Hz, 2H), 7.06 (d,  $J = 8.7$  Hz, 1H), 6.79 (d,  $J = 2.9$  Hz, 1H), 6.62 (dd,  $J = 8.8, 2.9$  Hz, 1H), 6.45 (d,  $J = 13.8$  Hz, 1H), 4.35 (q,  $J = 7.1$  Hz, 1H), 3.74 (s, 3H), 1.44 (d,  $J = 7.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  158.8, 157.2, 150.2, 144.9, 143.9, 137.9, 128.8, 128.7, 127.5, 126.8, 126.5, 126.1, 123.1, 114.1, 111.2, 55.3, 39.2, 21.6. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{20}\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 369.1268  $[\text{M}+\text{H}]^+$ ; found: 369.1278.

***N*-2-(1-(*p*-tolyl)ethyl)phenyl)pyridine-2-sulfonamide (1p)**: Follow by the general procedure **2**, the 2-(1-(*p*-tolyl)ethyl)aniline (2.4 mmol, 506.4 mg) was utilized as the starting material. white solid (566 mg, 67%), mp: 170-171 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.73 (d,  $J = 4.6$  Hz, 1H), 7.90 – 7.76 (m, 2H), 7.47 (ddd,  $J = 7.1, 4.7, 1.5$  Hz, 1H), 7.31 (dd,  $J = 7.9, 1.2$  Hz, 1H), 7.28 – 7.26 (m, 1H), 7.16 (dtd,  $J = 25.3, 7.5, 1.4$  Hz, 2H), 7.08 (t,  $J = 8.0$  Hz, 2H), 7.02 – 6.98 (m, 2H), 6.60 (s, 1H), 4.30 – 4.19 (m, 1H), 2.30 (s, 3H), 1.46 (d,  $J = 7.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  157.1, 150.2, 141.7, 139.8, 137.9, 136.3, 133.7, 129.7, 127.5, 127.4, 127.1, 126.9, 126.7, 125.4, 122.9, 38.9, 21.8, 20.9. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{20}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 353.1318  $[\text{M}+\text{H}]^+$ ; found: 353.1327.

***N*-2-(1-(4-(trifluoromethyl)phenyl)ethyl)phenyl)pyridine-2-sulfonamide (1q)**: Follow by the general procedure **2**, the 2-(1-(4-(trifluoromethyl)phenyl)ethyl)aniline (1 mmol, 265 mg) was utilized as the starting material. white solid (284.2 mg, 70%), mp: 139-140 °C,  $R_f = 0.4$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.57 – 8.51 (m, 1H), 7.87 – 7.78 (m, 2H), 7.50 (d,  $J = 8.1$  Hz, 2H), 7.43 (ddd,  $J = 7.4, 4.7, 1.3$  Hz, 1H), 7.29 (s, 1H), 7.27 (d,  $J = 3.7$  Hz, 1H), 7.26 (s, 1H), 7.24 – 7.18 (m, 2H), 7.11 – 7.07 (m, 2H), 4.75 (q,  $J = 7.1$  Hz, 1H), 1.54 (d,  $J = 7.2$  Hz, 3H).  $^{19}\text{F}$  NMR (565 MHz,  $\text{CDCl}_3$ )  $\delta$  -62.33.  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.8, 150.0, 149.4, 141.3, 138.1, 133.3, 128.6 ( $^2J_{\text{C-F}} = 31.66$  Hz), 128.1, 128.0, 127.7, 127.4, 126.9, 126.8, 125.5 ( $^3J_{\text{C-F}} = 3.67$  Hz), 124.2 ( $^1J_{\text{C-F}} = 271.91$  Hz), 123.1, 38.69, 21.56. HRMS (ESI)  $m/z$ :  $[\text{M}+\text{H}]^+$  calcd for  $\text{C}_{20}\text{H}_{18}\text{F}_3\text{N}_2\text{O}_2\text{S}$  407.1036; Found: 407.1043. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{17}\text{F}_3\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 407.1036  $[\text{M}+\text{H}]^+$ ; found: 407.1043.

***N*-2-(1-(4-(trifluoromethyl)phenyl)ethyl)phenyl)pyridine-2-sulfonamide (1r)**:

Follow by the general procedure **2**, the 4-methoxy-2-(1-(4-(trifluoromethyl)phenyl)ethyl)aniline (1.25 mmol, 369 mg) was utilized as the starting material. white solid (382 mg, 70%), mp: 126-127 °C,  $R_f = 0.4$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.51 (d,  $J = 4.5$  Hz, 1H), 7.86 – 7.76 (m, 2H), 7.50 (d,  $J = 8.2$  Hz, 2H), 7.45 – 7.38 (m, 1H), 7.34 (s, 1H), 7.29 (d,  $J = 8.2$  Hz, 2H), 6.85 (d,  $J = 8.8$  Hz, 1H), 6.75 (d,  $J = 2.9$  Hz, 1H), 6.56 (dd,  $J = 8.8, 2.9$  Hz, 1H), 4.82 (q,  $J = 7.1$  Hz, 1H), 3.71 (s, 3H), 1.52 (d,  $J = 7.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  159.2, 156.9, 150.0, 149.5, 144.7, 138.0, 129.5, 128.5 ( $^2J_{\text{C-F}} = 32.29$  Hz), 128.1, 126.9, 125.7, 125.5 ( $^3J_{\text{C-F}} = 3.37$  Hz), 124.2 ( $^1J_{\text{C-F}} = 273.14$  Hz), 123.3, 114.4, 111.4, 55.3, 38.8, 21.5. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{21}\text{H}_{19}\text{F}_3\text{N}_2\text{O}_3\text{S} + \text{H}^+$ : 437.1141 [ $M + \text{H}$ ] $^+$ ; found: 437.1149.

***N*-(4-methyl-2-(1-phenylethyl)phenyl)pyridine-2-sulfonamide (1s)**: Follow by the general procedure **2**, the 4-methyl-2-(1-phenylethyl)aniline (2.2 mmol, 464.2 mg) was utilized as the starting material. white solid (480 mg, 62%), mp: 134-135 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.71 (d,  $J = 4.6$  Hz, 1H), 7.82 (ddd,  $J = 10.1, 9.4, 4.6$  Hz, 2H), 7.46 (ddd,  $J = 7.2, 4.7, 1.4$  Hz, 1H), 7.29 – 7.24 (m, 2H), 7.19 (t,  $J = 7.4$  Hz, 1H), 7.12 (d,  $J = 7.3$  Hz, 2H), 7.10 – 7.06 (m, 1H), 7.05 (s, 1H), 6.92 (d,  $J = 8.1$  Hz, 1H), 6.56 (s, 1H), 4.34 (q,  $J = 7.1$  Hz, 1H), 2.28 (s, 3H), 1.46 (d,  $J = 7.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  157.2, 150.2, 145.0, 140.7, 137.9, 136.9, 130.8, 128.9, 128.3, 127.7, 127.5, 126.8, 126.5, 126.2, 122.9, 39.1, 21.7, 21.3. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}^+$ : 353.1318 [ $M + \text{H}$ ] $^+$ ; found: 353.1327.

***N*-(2-cyclopentylphenyl)pyridine-2-sulfonamide (1t)**: Follow by the general procedure **2**, the 2-cyclopentylaniline (2.5 mmol, 403 mg) was utilized as the starting material. white solid (642 mg, 85%), mp: 122-123 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.76 (d,  $J = 20.3$  Hz, 1H), 7.88 – 7.78 (m, 2H), 7.48 (s, 1H), 7.21 (dd,  $J = 15.7, 7.9$  Hz, 2H), 7.13 (t,  $J = 7.4$  Hz, 1H), 7.09 – 7.02 (m, 1H), 6.99 (s, 1H), 3.22 (p,  $J = 8.4$  Hz, 1H), 1.89 – 1.72 (m, 4H), 1.66 (s, 2H), 1.42 (s, 2H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.9, 150.1, 141.3, 137.9, 133.5, 127.1, 126.9, 126.8, 126.3, 125.5, 123.1, 39.2, 34.4, 25.6. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{16}\text{H}_{18}\text{N}_2\text{O}_2\text{S} + \text{H}^+$ : 303.1162 [ $M + \text{H}$ ] $^+$ ; found: 303.1172.

***N*-(2-cyclohexylphenyl)pyridine-2-sulfonamide (1u)**: Follow by the general procedure **2**, the 2-cyclohexylaniline (2 mmol, 350 mg) was utilized as the starting material. white solid (537 mg, 85%), mp: 115-116 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.77 – 8.73 (m, 1H), 7.85 – 7.75 (m, 2H), 7.51 – 7.44 (m, 1H), 7.28 (d,  $J = 7.9$  Hz, 1H), 7.19 – 7.12 (m, 2H), 7.10 – 7.05 (m, 1H), 6.83 (s, 1H), 2.72 (tt,  $J = 11.4, 3.2$  Hz, 1H), 1.76 (t,  $J = 13.4$  Hz, 3H), 1.43 (d,  $J = 12.7$  Hz, 2H), 1.38 – 1.16 (m, 5H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.8, 150.2, 142.3, 137.8, 132.2, 127.2, 126.9, 126.8, 126.4, 126.1, 123.0, 37.7, 33.9, 26.7, 26.0. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{17}\text{H}_{20}\text{N}_2\text{O}_2\text{S} + \text{H}^+$ : 317.1318 [ $M + \text{H}$ ] $^+$ ; found: 317.1329.

***N*-(2-cycloheptylphenyl)pyridine-2-sulfonamide (1v)**: Follow by the general procedure **2**, the 2-cycloheptylaniline (2.5 mmol, 473 mg) was utilized as the starting material. white solid (783 mg, 95%), mp: 120-121 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.78 – 8.72 (m, 1H), 7.85 – 7.78 (m, 2H), 7.47 (ddd,  $J = 6.8, 4.7, 1.9$  Hz, 1H), 7.26 (d,  $J = 6.6$  Hz, 1H), 7.17 – 7.11 (m, 2H), 7.07 – 7.03 (m, 1H), 6.82 (s, 1H), 2.88 (td,  $J = 9.7, 4.8$  Hz, 1H), 1.74 – 1.67 (m, 4H), 1.57 – 1.43 (m, 8H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.9, 150.3, 144.3, 137.9, 131.6, 127.2, 127.1, 126.8, 126.1, 125.7, 122.9, 39.7, 36.2, 27.7, 27.2. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{18}\text{H}_{22}\text{N}_2\text{O}_2\text{S} + \text{H}^+$ : 331.1475 [ $M + \text{H}$ ] $^+$ ; found: 331.1480.

***N*-(2-propylphenyl)pyridine-2-sulfonamide (1w)**: Follow by the general procedure **2**, the 2-propylaniline (3.7 mmol, 500 mg) was utilized as the starting material. white solid (970 mg,



95%), mp: 128-129 °C,  $R_f = 0.6$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.71 (dd,  $J = 4.6, 0.7$  Hz, 1H), 7.86 (d,  $J = 7.8$  Hz, 1H), 7.81 (td,  $J = 7.7, 1.5$  Hz, 1H), 7.50 – 7.43 (m, 1H), 7.23 (d,  $J = 7.6$  Hz, 1H), 7.13 (s, 1H), 7.12 – 7.02 (m, 3H), 2.58 – 2.52 (m, 2H), 1.55 – 1.46 (m, 2H), 0.91 (t,  $J = 7.3$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.9, 150.2, 137.9, 136.6, 136.6, 133.6, 129.9, 126.9, 126.7, 126.5, 124.6, 124.5, 122.9, 32.9, 23.2, 13.9. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{16}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 277.1005  $[M+\text{H}]^+$ ; found: 277.1017.

***N*-(2-(1,2-diphenylethyl)-4-methylphenyl)pyridine-2-sulfonamide (1x)**: Follow by the general procedure 2, the 2-(1,2-diphenylethyl)-4-methylaniline (0.5 mmol, 144 mg) was utilized as the starting material. white solid (98.4 mg, 46%), mp: 146-147 °C,  $R_f = 0.4$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.69 (d,  $J = 4.7$  Hz, 1H), 7.83 (ddd,  $J = 10.8, 9.1, 4.6$  Hz, 2H), 7.46 (ddd,  $J = 7.3, 4.7, 1.2$  Hz, 1H), 7.22 (t,  $J = 7.4$  Hz, 2H), 7.20 – 7.15 (m, 4H), 7.08 (s, 1H), 7.01 (dd,  $J = 15.5, 7.7$  Hz, 3H), 6.92 – 6.83 (m, 3H), 6.02 (s, 1H), 4.50 (t,  $J = 7.8$  Hz, 1H), 3.27 (dd,  $J = 13.2, 7.8$  Hz, 1H), 3.15 (dd,  $J = 13.2, 7.8$  Hz, 1H), 2.27 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  157.5, 150.3, 142.9, 139.8, 139.5, 137.8, 137.1, 131.1, 129.1, 128.7, 128.6, 128.3, 128.2, 127.8, 126.8, 126.7, 126.6, 126.3, 122.9, 46.5, 42.0, 21.3. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{26}\text{H}_{24}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 429.1631  $[M+\text{H}]^+$ ; found: 429.1644.

***N*-(4-methyl-2-(1-phenylpropyl)phenyl)pyridine-2-sulfonamide (1y)**: Follow by the general procedure 2, the 4-methyl-2-(1-phenylpropyl)aniline (2 mmol, 225 mg) was utilized as the starting material. white solid (439.2 mg, 60%), mp: 180-181 °C,  $R_f = 0.45$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.76 – 8.69 (m, 1H), 7.85 – 7.78 (m, 2H), 7.47 (ddd,  $J = 7.1, 4.7, 1.5$  Hz, 1H), 7.32 – 7.23 (m, 2H), 7.21 – 7.13 (m, 3H), 7.11 (dt,  $J = 16.9, 8.3$  Hz, 2H), 6.92 (dd,  $J = 8.1, 1.5$  Hz, 1H), 6.54 (s, 1H), 3.92 (t,  $J = 7.6$  Hz, 1H), 2.31 (s, 3H), 1.94 – 1.81 (m, 2H), 0.76 (t,  $J = 7.3$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  157.3, 150.2, 143.5, 139.3, 137.9, 136.8, 131.2, 128.9, 128.1, 128.0, 127.7, 126.8, 126.6, 126.5, 122.9, 46.8, 28.4, 21.3, 12.4. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{21}\text{H}_{22}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 367.1475  $[M+\text{H}]^+$ ; found: 367.1483.

***N*-(2-(pentan-2-yl)phenyl)pyridine-2-sulfonamide (1z)**: Follow by the general procedure 2, the 2-(pentan-2-yl)aniline (2.15 mmol, 350 mg) was utilized as the starting material. white solid (399 mg, 61%), mp: 95-96 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.77 – 8.71 (m, 1H), 7.82 (ddd,  $J = 12.9, 9.4, 4.7$  Hz, 2H), 7.49 – 7.44 (m, 1H), 7.22 (d,  $J = 8.0$  Hz, 1H), 7.17 – 7.14 (m, 2H), 7.05 (ddd,  $J = 13.1, 6.7, 4.0$  Hz, 1H), 6.85 (s, 1H), 3.05 (dd,  $J = 14.0, 7.0$  Hz, 1H), 1.52 – 1.42 (m, 1H), 1.42 – 1.33 (m, 1H), 1.20 (dt,  $J = 14.7, 12.8, 6.4$  Hz, 1H), 1.08 (tdd,  $J = 12.9, 9.1, 5.4$  Hz, 1H), 1.02 (d,  $J = 6.8$  Hz, 3H), 0.84 (t,  $J = 7.3$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.9, 150.2, 142.6, 137.9, 132.7, 127.2, 126.8, 126.7, 126.3, 125.5, 123.1, 40.1, 32.5, 21.5, 20.7, 14.2. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{16}\text{H}_{20}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 305.1318  $[M+\text{H}]^+$ ; found: 305.1329.

***N*-(2-(prop-1-en-2-yl)phenyl)pyridine-2-sulfonamide (4)**: Follow by the general procedure 2, the 2-(prop-1-en-2-yl)aniline (5 mmol, 665 mg) was utilized as the starting material. white solid (932 mg, 68%), mp: 98-99 °C,  $R_f = 0.5$  (PE/EA = 3/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.66 (s, 1H), 7.98 (d,  $J = 7.8$  Hz, 1H), 7.84 (t,  $J = 7.7$  Hz, 1H), 7.62 (d,  $J = 8.2$  Hz, 1H), 7.45 (d,  $J = 8.9$  Hz, 2H), 7.18 – 7.09 (m, 1H), 7.02 (dt,  $J = 14.6, 7.3$  Hz, 2H), 5.37 (s, 1H), 4.96 (s, 1H), 1.91 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.5, 150.2, 141.9, 137.9, 134.4, 132.6, 128.1, 127.9, 126.9, 124.2, 123.1, 119.5, 117.6, 24.5. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{14}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 275.0849  $[M+\text{H}]^+$ ; found: 275.0858.

## Characterization of products

**3-methyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2a):** white solid, (39.7 mg, 73%), mp: 103-104 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.56 (dd,  $J = 4.6, 0.6$  Hz, 1H), 8.07 (d,  $J = 7.9$  Hz, 1H), 7.98 (d,  $J = 8.2$  Hz, 1H), 7.84 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.45 (d,  $J = 7.7$  Hz, 1H), 7.43 – 7.38 (m, 2H), 7.32 – 7.27 (m, 1H), 7.26 – 7.22 (m, 1H), 2.25 (d,  $J = 1.2$  Hz, 3H).  $^{13}\text{C NMR}$  (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.5, 150.4, 138.1, 135.3, 131.9, 127.4, 124.5, 123.9, 123.2, 122.3, 119.4, 118.3, 113.8, 9.7. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{12}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 273.0692  $[M+\text{H}]^+$ ; found: 273.0699.

**5-chloro-3-methyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2b):** white solid, (35.5 mg, 58%), mp: 100-101 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.58 (d,  $J = 4.4$  Hz, 1H), 8.07 (d,  $J = 7.9$  Hz, 1H), 7.89 (ddd,  $J = 11.4, 9.5, 5.2$  Hz, 2H), 7.48 – 7.40 (m, 3H), 7.24 (dd,  $J = 8.8, 2.0$  Hz, 1H), 2.22 (d,  $J = 1.1$  Hz, 3H).  $^{13}\text{C NMR}$  (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.4, 150.5, 138.1, 133.8, 133.2, 129.2, 127.6, 125.3, 124.7, 122.2, 119.2, 117.7, 114.9, 9.6. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{11}\text{ClN}_2\text{O}_2\text{S}+\text{H}^+$ : 307.0303  $[M+\text{H}]^+$ ; found: 307.0311.

**5-bromo-3-methyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2c):** white solid, (50.4 mg, 72%), mp: 112-113 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.59 (dd,  $J = 4.6, 0.7$  Hz, 1H), 8.08 (t,  $J = 7.4$  Hz, 1H), 7.91 – 7.83 (m, 2H), 7.59 (d,  $J = 1.8$  Hz, 1H), 7.47 – 7.43 (m, 1H), 7.41 – 7.36 (m, 2H), 2.22 (d,  $J = 1.1$  Hz, 3H).  $^{13}\text{C NMR}$  (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.4, 150.5, 138.1, 134.2, 133.7, 127.6, 127.4, 125.1, 122.2, 122.1, 117.6, 116.7, 115.4, 9.6. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{11}\text{BrN}_2\text{O}_2\text{S}+\text{H}^+$ : 350.9798  $[M+\text{H}]^+$ ; found: 350.9806.

**5-iodo-3-methyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2d):** white solid, (47 mg, 59%), mp: 164-165 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 – 8.55 (m, 1H), 8.07 (d,  $J = 7.9$  Hz, 1H), 7.88 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.79 (d,  $J = 1.4$  Hz, 1H), 7.76 (d,  $J = 8.7$  Hz, 1H), 7.55 (dd,  $J = 8.7, 1.6$  Hz, 1H), 7.45 (ddd,  $J = 7.6, 4.7, 1.0$  Hz, 1H), 7.36 (d,  $J = 1.1$  Hz, 1H), 2.21 (d,  $J = 1.2$  Hz, 3H).  $^{13}\text{C NMR}$  (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.3, 150.4, 138.1, 134.7, 134.1, 132.9, 128.4, 127.5, 124.7, 122.2, 117.3, 115.7, 87.3, 9.5. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{11}\text{IN}_2\text{O}_2\text{S}+\text{H}^+$ : 398.9659  $[M+\text{H}]^+$ ; found: 398.9663.

**3-methyl-5-phenyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2e):** white solid, (48.7 mg, 70%), mp: 164-165 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 (d,  $J = 4.1$  Hz, 1H), 8.10 (t,  $J = 8.9$  Hz, 1H), 8.03 (d,  $J = 8.6$  Hz, 1H), 7.87 (td,  $J = 7.8, 1.6$  Hz, 1H), 7.63 (t,  $J = 9.9$  Hz, 1H), 7.59 (d,  $J = 7.3$  Hz, 2H), 7.52 (dd,  $J = 8.6, 1.6$  Hz, 1H), 7.45 – 7.39 (m, 4H), 7.32 (dd,  $J = 17.0, 9.6$  Hz, 1H), 2.29 (d,  $J = 1.0$  Hz, 3H).  $^{13}\text{C NMR}$  (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.5, 150.4, 141.3, 138.0, 136.7, 134.7, 132.3, 128.7, 127.4, 127.3, 127.0, 124.4, 124.0, 122.2, 118.5, 117.8, 114.0, 9.7. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{16}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 349.1005  $[M+\text{H}]^+$ ; found: 349.1017.

**(E)-3-methyl-1-(pyridin-2-ylsulfonyl)-5-styryl-1H-indole (2f):** white solid, (30.7 mg, 41%), mp: 106-107 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 (d,  $J = 4.0$  Hz, 1H), 8.09 (d,  $J = 7.9$  Hz, 1H), 7.96 (d,  $J = 8.6$  Hz, 1H), 7.87 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.56 (s, 1H), 7.54 – 7.47 (m, 3H), 7.45 – 7.42 (m, 1H), 7.41 (d,  $J = 1.1$  Hz, 1H), 7.36 (t,  $J = 7.7$  Hz, 2H), 7.24 (s, 1H), 7.18 (d,  $J = 16.3$  Hz, 1H), 7.13 – 7.04 (m, 1H), 2.29 (d,  $J = 1.1$  Hz, 3H).  $^{13}\text{C NMR}$  (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.5, 150.4, 138.0, 137.4, 134.9, 132.8, 132.3, 128.7, 128.6, 128.1, 127.5, 127.4, 126.4, 124.5, 123.1, 122.2, 118.4, 117.4, 114.0, 9.7. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{22}\text{H}_{18}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 375.1162  $[M+\text{H}]^+$ ; found: 375.1168.

**3-methyl-5-(phenylethynyl)-1-(pyridin-2-ylsulfonyl)-1H-indole (2g):** white solid, (42.4 mg, 57%), mp: 110-111 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H NMR}$  (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.63 – 8.56 (m, 1H),

8.09 (d,  $J = 7.9$  Hz, 1H), 7.96 (d,  $J = 8.5$  Hz, 1H), 7.88 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.65 (d,  $J = 0.9$  Hz, 1H), 7.52 (dt,  $J = 8.4, 2.2$  Hz, 2H), 7.49 – 7.41 (m, 3H), 7.38 – 7.29 (m, 3H), 2.26 (d,  $J = 1.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.4, 150.4, 138.1, 134.9, 131.9, 131.5, 128.3, 128.1, 128.0, 127.5, 124.7, 123.3, 122.9, 122.2, 118.2, 113.9, 89.6, 88.6, 9.6. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{22}\text{H}_{16}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 373.1005  $[M+\text{H}]^+$ ; found: 373.1015.

**5-(furan-3-yl)-3-methyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2h)**: white solid, (25 mg, 37%), mp: 140-141 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 (dd,  $J = 4.7, 0.7$  Hz, 1H), 8.08 (t,  $J = 9.8$  Hz, 1H), 7.97 (d,  $J = 8.5$  Hz, 1H), 7.87 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.72 (s, 1H), 7.53 (d,  $J = 1.2$  Hz, 1H), 7.48 (t,  $J = 1.6$  Hz, 1H), 7.45 – 7.36 (m, 3H), 6.74 – 6.69 (m, 1H), 2.28 (d,  $J = 1.1$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.5, 150.4, 143.7, 138.3, 138.1, 134.5, 132.4, 127.8, 127.4, 126.6, 124.5, 122.9, 122.2, 118.4, 116.5, 114.2, 109.1, 9.7. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 339.0798  $[M+\text{H}]^+$ ; found: 339.0807.

**3-methyl-1-(pyridin-2-ylsulfonyl)-5-(thiophen-3-yl)-1H-indole (2i)**: white solid, (34.7 mg, 49%), mp: 121-122 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.63 – 8.57 (m, 1H), 8.09 (d,  $J = 7.9$  Hz, 1H), 7.99 (d,  $J = 8.6$  Hz, 1H), 7.87 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.63 (d,  $J = 1.6$  Hz, 1H), 7.53 (dd,  $J = 8.6, 1.7$  Hz, 1H), 7.45 – 7.41 (m, 3H), 7.41 – 7.37 (m, 2H), 2.29 (d,  $J = 1.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.6, 150.5, 142.45, 138.1, 134.6, 132.4, 131.5, 127.4, 126.6, 126.2, 124.5, 123.5, 122.2, 119.9, 118.5, 117.1, 114.1, 9.7. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{18}\text{H}_{14}\text{N}_2\text{O}_2\text{S}_2+\text{H}^+$ : 355.0570  $[M+\text{H}]^+$ ; found: 355.0576.

**3-methyl-5-(naphthalen-1-yl)-1-(pyridin-2-ylsulfonyl)-1H-indole (2j)**: white solid, (43 mg, 54%), mp: 142-143 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.66 (dd,  $J = 4.7, 0.7$  Hz, 1H), 8.17 (d,  $J = 7.9$  Hz, 1H), 8.08 (d,  $J = 8.4$  Hz, 1H), 7.95 – 7.89 (m, 2H), 7.85 (t,  $J = 7.7$  Hz, 2H), 7.57 (d,  $J = 1.1$  Hz, 1H), 7.53 – 7.44 (m, 4H), 7.45 – 7.36 (m, 3H), 2.28 (d,  $J = 1.1$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.7, 150.6, 140.3, 138.2, 135.9, 134.6, 133.8, 131.9, 131.8, 128.3, 127.6, 127.5, 127.2, 126.8, 126.1, 126.0, 125.8, 125.3, 124.4, 122.4, 120.7, 118.4, 113.7, 9.7. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{24}\text{H}_{18}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 399.1062  $[M+\text{H}]^+$ ; found: 399.1170.

**5-methoxy-3-methyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2k)**: white solid, (25.4 mg, 42%), mp: 141-142 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.62 – 8.55 (m, 1H), 8.05 (dd,  $J = 7.9, 0.8$  Hz, 1H), 7.92 – 7.77 (m, 2H), 7.43 – 7.40 (m, 1H), 7.38 (d,  $J = 1.1$  Hz, 1H), 6.89 (dt,  $J = 5.3, 2.3$  Hz, 2H), 3.83 (s, 3H), 2.22 (d,  $J = 1.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.5, 155.5, 150.4, 137.9, 132.9, 129.9, 127.3, 124.7, 122.2, 118.3, 114.7, 113.2, 102.0, 55.7, 9.8. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{15}\text{H}_{14}\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 303.0798  $[M+\text{H}]^+$ ; found: 303.0805.

**3-methyl-5-phenethyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2l)**: white solid, (38.4 mg, 51%), mp: 127-128 °C,  $R_f = 0.6$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.59 (dd,  $J = 4.6, 0.7$  Hz, 1H), 8.07 (d,  $J = 7.9$  Hz, 1H), 7.91 – 7.81 (m, 2H), 7.42 – 7.39 (m, 1H), 7.38 (d,  $J = 1.1$  Hz, 1H), 7.29 – 7.26 (m, 2H), 7.25 (s, 1H), 7.19 (dd,  $J = 12.5, 7.1$  Hz, 3H), 7.12 (dd,  $J = 8.5, 1.5$  Hz, 1H), 2.98 (dt,  $J = 7.8, 2.5$  Hz, 2H), 2.92 (dt,  $J = 7.3, 2.5$  Hz, 2H), 2.23 (d,  $J = 1.2$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.6, 150.4, 141.7, 137.9, 136.9, 133.9, 132.1, 128.4, 128.3, 127.3, 125.9, 125.3, 124.1, 122.2, 118.8, 118.2, 113.6, 38.4, 37.9, 9.7. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{22}\text{H}_{20}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 377.1318  $[M+\text{H}]^+$ ; found: 377.1320.

**3-methyl-6-nitro-1-(pyridin-2-ylsulfonyl)-1H-indole (2m)**: white solid, (20.3 mg, 32%), mp: 109-110 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.88 (d,  $J = 1.9$  Hz, 1H), 8.61 – 8.57 (m, 1H), 8.18 (dd,  $J = 28.1, 4.8$  Hz, 1H), 8.15 (dd,  $J = 8.7, 2.0$  Hz, 1H), 7.95 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.68 (d,  $J = 1.1$  Hz, 1H), 7.59 – 7.53 (m, 1H), 7.52 – 7.43 (m, 1H), 2.32 (d,  $J = 1.1$

Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.2, 150.7, 145.2, 138.4, 136.4, 134.3, 129.2, 127.9, 122.4, 119.5, 118.5, 117.9, 110.4, 9.6. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{11}\text{N}_3\text{O}_4\text{S}+\text{H}^+$ : 318.0543  $[M+\text{H}]^+$ ; found: 318.0548.

**3-phenyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2n)**: white solid, (50.1 mg, 75%), mp: 101-102 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.61 (ddd,  $J = 4.6, 1.5, 0.8$  Hz, 1H), 8.16 – 8.13 (m, 1H), 8.09 (d,  $J = 8.3$  Hz, 1H), 7.89 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.80 (d,  $J = 5.6$  Hz, 2H), 7.64 (dd,  $J = 5.0, 3.2$  Hz, 2H), 7.50 – 7.42 (m, 3H), 7.40 – 7.33 (m, 2H), 7.32 – 7.28 (m, 1H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.4, 150.6, 138.1, 135.7, 133.0, 129.4, 128.9, 127.9, 127.6, 127.5, 124.8, 123.9, 123.8, 123.7, 122.3, 120.4, 114.1. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{19}\text{H}_{14}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 335.0849  $[M+\text{H}]^+$ ; found: 335.0859.

**3-(4-methoxyphenyl)-1-(pyridin-2-ylsulfonyl)-1H-indole (2o)**: white solid, (37.1 mg, 51%), mp: 111-112 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 (dd,  $J = 4.6, 0.7$  Hz, 1H), 8.11 (d,  $J = 7.9$  Hz, 1H), 7.97 (d,  $J = 9.1$  Hz, 1H), 7.88 (tt,  $J = 7.8, 3.8$  Hz, 1H), 7.74 (s, 1H), 7.63 – 7.59 (m, 2H), 7.51 – 7.41 (m, 3H), 7.36 (dd,  $J = 17.0, 9.6$  Hz, 1H), 7.21 (d,  $J = 2.4$  Hz, 1H), 6.96 (dd,  $J = 9.1, 2.5$  Hz, 1H), 3.81 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.9, 155.4, 150.5, 138.1, 133.1, 130.4, 130.3, 128.9, 127.8, 127.6, 124.8, 123.8, 122.3, 114.9, 113.7, 102.9, 55.8. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{16}\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 365.0955  $[M+\text{H}]^+$ ; found: 365.0962.

**1-(pyridin-2-ylsulfonyl)-3-(p-tolyl)-1H-indole (2p)**: white solid, (52.9 mg, 76%), mp: 111-112 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 (dd,  $J = 4.7, 0.7$  Hz, 1H), 8.13 (d,  $J = 7.9$  Hz, 1H), 8.08 (d,  $J = 8.3$  Hz, 1H), 7.88 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.82 – 7.74 (m, 2H), 7.52 (d,  $J = 8.0$  Hz, 2H), 7.44 (ddd,  $J = 7.7, 4.7, 1.0$  Hz, 1H), 7.38 – 7.31 (m, 1H), 7.28 (dd,  $J = 12.7, 4.7$  Hz, 3H), 2.41 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.4, 150.6, 138.1, 137.4, 135.7, 130.1, 129.6, 129.5, 127.8, 127.6, 124.8, 123.7, 123.6, 123.6, 122.3, 120.4, 114.1, 21.2. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{16}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 349.1005  $[M+\text{H}]^+$ ; found: 349.1016.

**1-(pyridin-2-ylsulfonyl)-3-(4-(trifluoromethyl)phenyl)-1H-indole (2q)**: white solid, (57.1 mg, 71%), mp: 121-122 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.62 (d,  $J = 4.5$  Hz, 1H), 8.19 (d,  $J = 7.9$  Hz, 1H), 8.09 (d,  $J = 8.3$  Hz, 1H), 7.91 (tt,  $J = 13.8, 6.9$  Hz, 1H), 7.87 (s, 1H), 7.75 (dt,  $J = 17.8, 8.3$  Hz, 5H), 7.47 (dt,  $J = 12.0, 6.0$  Hz, 1H), 7.38 (t,  $J = 7.7$  Hz, 1H), 7.32 (dd,  $J = 14.9, 7.6$  Hz, 1H).  $^{19}\text{F}$  NMR (565 MHz,  $\text{CDCl}_3$ )  $\delta$  -62.47.  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.2, 150.6, 138.2, 136.8, 135.7, 129.5 ( $^2J_{\text{C-F}} = 32.24$  Hz), 128.8, 128.1, 127.7, 125.8 ( $^3J_{\text{C-F}} = 4.00$  Hz), 125.2, 124.8, 124.2 ( $^1J_{\text{C-F}} = 271.94$  Hz), 123.9, 122.4, 122.3, 120.1, 114.2. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{13}\text{F}_3\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 403.0723  $[M+\text{H}]^+$ ; found: 403.0727.

**5-methoxy-1-(pyridin-2-ylsulfonyl)-3-(4-(trifluoromethyl)phenyl)-1H-indole (2r)**: white solid, (41.5 mg, 48%), mp: 128-129 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.64 – 8.58 (m, 1H), 8.15 (d,  $J = 7.9$  Hz, 1H), 8.00 – 7.95 (m, 1H), 7.91 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.82 (s, 1H), 7.72 (s, 4H), 7.47 (ddd,  $J = 7.7, 4.7, 1.0$  Hz, 1H), 7.17 (d,  $J = 2.4$  Hz, 1H), 6.98 (dd,  $J = 9.1, 2.5$  Hz, 1H), 3.82 (s, 3H).  $^{19}\text{F}$  NMR (565 MHz,  $\text{CDCl}_3$ )  $\delta$  -62.47.  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  157.0, 155.2, 150.6, 138.2, 136.9, 130.3, 129.8, 129.5 ( $^2J_{\text{C-F}} = 32.12$  Hz), 127.9, 127.7, 125.9 ( $^3J_{\text{C-F}} = 3.81$  Hz), 125.6, 124.2 ( $^1J_{\text{C-F}} = 272.81$  Hz), 122.3, 122.2, 115.0, 113.9, 102.7, 55.8. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{21}\text{H}_{15}\text{F}_3\text{N}_2\text{O}_3\text{S}+\text{H}^+$ : 433.0828  $[M+\text{H}]^+$ ; found: 433.0839.

**5-methyl-3-phenyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2s)**: white solid, (48.7 mg, 70%), mp: 100-101 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.62 – 8.57 (m, 1H), 8.12 (d,  $J = 7.9$  Hz, 1H), 7.95 (d,  $J = 8.5$  Hz, 1H), 7.90 – 7.84 (m, 1H), 7.74 (s, 1H), 7.64 – 7.59 (m, 2H), 7.56 (s, 1H), 7.49 – 7.41 (m, 3H), 7.39 – 7.34 (m, 1H), 7.17 (dd,  $J = 8.5, 0.9$  Hz, 1H), 2.42 (s, 3H).

$^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.4, 150.5, 138.1, 133.9, 133.4, 133.2, 129.6, 128.9, 127.9, 127.5, 127.4, 126.2, 124.1, 123.6, 122.3, 120.3, 113.7, 21.5. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{20}\text{H}_{16}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 349.1005  $[\text{M}+\text{H}]^+$ ; found: 349.1015.

**4-(pyridin-2-ylsulfonyl)-1,2,3,4-tetrahydrocyclopenta[*b*]indole (2t):** white solid, (34.6 mg, 58%), mp: 118-119 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.58 (dd,  $J = 4.6, 0.7$  Hz, 1H), 8.05 (d,  $J = 7.9$  Hz, 1H), 8.01 – 7.93 (m, 1H), 7.85 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.45 – 7.36 (m, 1H), 7.34 – 7.29 (m, 1H), 7.22 – 7.15 (m, 2H), 3.29 – 3.18 (m, 2H), 2.75 (ddd,  $J = 9.2, 3.8, 1.9$  Hz, 2H), 2.53 (dt,  $J = 10.5, 7.2$  Hz, 2H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.9, 150.5, 144.9, 140.4, 137.9, 127.4, 127.3, 126.3, 123.4, 123.1, 122.1, 118.9, 114.5, 27.9, 27.5, 24.2. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{16}\text{H}_{14}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 299.0849  $[\text{M}+\text{H}]^+$ ; found: 299.0858.

**9-(pyridin-2-ylsulfonyl)-2,3,4,9-tetrahydro-1*H*-carbazole (2u):** white solid, (37.4 mg, 60%), mp: 125-126 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.58 (dd,  $J = 4.6, 0.6$  Hz, 1H), 8.09 – 8.06 (m, 1H), 8.01 (d,  $J = 7.9$  Hz, 1H), 7.83 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.41 (ddd,  $J = 7.6, 4.7, 0.9$  Hz, 1H), 7.36 – 7.31 (m, 1H), 7.24 – 7.18 (m, 2H), 3.17 (ddd,  $J = 6.3, 4.5, 1.9$  Hz, 2H), 2.61 (ddd,  $J = 7.9, 4.0, 1.9$  Hz, 2H), 1.95 – 1.88 (m, 2H), 1.87 – 1.76 (m, 2H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.3, 150.4, 137.9, 136.8, 136.1, 130.5, 127.2, 123.7, 123.3, 121.9, 118.2, 117.9, 114.3, 24.5, 23.3, 22.1, 21.2. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{17}\text{H}_{16}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 313.1005  $[\text{M}+\text{H}]^+$ ; found: 313.1017.

**5-(pyridin-2-ylsulfonyl)-5,6,7,8,9,10-hexahydrocyclohepta[*b*]indole (2v):** white solid, (42.4 mg, 65%), mp: 131-132 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 – 8.54 (m, 1H), 8.17 – 8.10 (m, 1H), 7.95 (t,  $J = 9.1$  Hz, 1H), 7.82 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.41 (ddd,  $J = 7.6, 4.7, 1.0$  Hz, 1H), 7.38 – 7.33 (m, 1H), 7.23 – 7.17 (m, 2H), 3.38 – 3.28 (m, 2H), 2.73 – 2.66 (m, 2H), 1.85 (dt,  $J = 11.9, 6.0$  Hz, 2H), 1.79 – 1.68 (m, 4H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.2, 150.2, 140.2, 137.9, 136.1, 130.9, 127.2, 123.6, 123.3, 123.1, 121.8, 117.8, 115.1, 30.8, 27.1, 26.8, 26.3, 23.5. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{18}\text{H}_{18}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 327.1162  $[\text{M}+\text{H}]^+$ ; found: 327.1168.

**2-methyl-1-(pyridin-2-ylsulfonyl)-1*H*-indole (2w):** white solid, (30.5 mg, 56%), mp: 108-109 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.58 (d,  $J = 3.9$  Hz, 1H), 8.05 (dd,  $J = 7.9, 3.7$  Hz, 2H), 7.86 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.42 (ddd,  $J = 7.6, 4.7, 1.1$  Hz, 1H), 7.41 – 7.36 (m, 1H), 7.21-7.16 (m, 2H), 6.37 (s, 1H), 2.75 (d,  $J = 1.0$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  150.4, 139.1, 137.9, 133.2, 129.9, 127.4, 123.6, 123.5, 121.9, 119.9, 114.4, 109.3, 100.0, 15.8. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{14}\text{H}_{12}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 273.0691  $[\text{M}+\text{H}]^+$ ; found: 273.0701.

**5-methyl-2,3-diphenyl-1-(pyridin-2-ylsulfonyl)-1*H*-indole (2x):** white solid, (39.8 mg, 47%), mp: 118-119 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.53 (dd,  $J = 4.6, 0.7$  Hz, 1H), 8.20 (d,  $J = 8.5$  Hz, 1H), 7.70 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.60 (d,  $J = 7.9$  Hz, 1H), 7.37 (ddd,  $J = 7.6, 4.7, 1.0$  Hz, 1H), 7.30 – 7.27 (m, 2H), 7.24 – 7.18 (m, 8H), 7.16 – 7.12 (m, 2H), 2.40 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  155.9, 150.0, 137.5, 137.2, 136.3, 135.6, 133.8, 132.8, 132.1, 131.0, 130.3, 129.9, 128.4, 128.2, 127.2, 127.1, 126.9, 126.5, 122.4, 119.8, 115.7, 21.3. HRMS (ESI)  $m/z$  calcd for  $\text{C}_{26}\text{H}_{20}\text{N}_2\text{O}_2\text{S}+\text{H}^+$ : 425.1318  $[\text{M}+\text{H}]^+$ ; found: 425.1324.

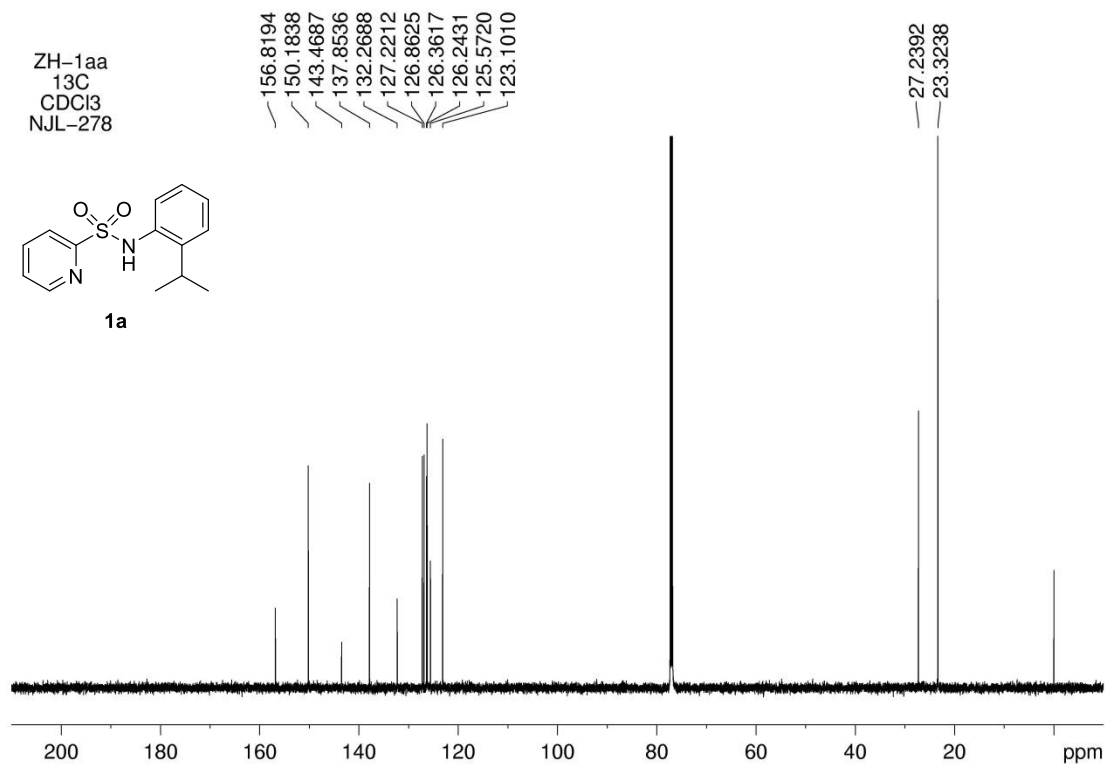
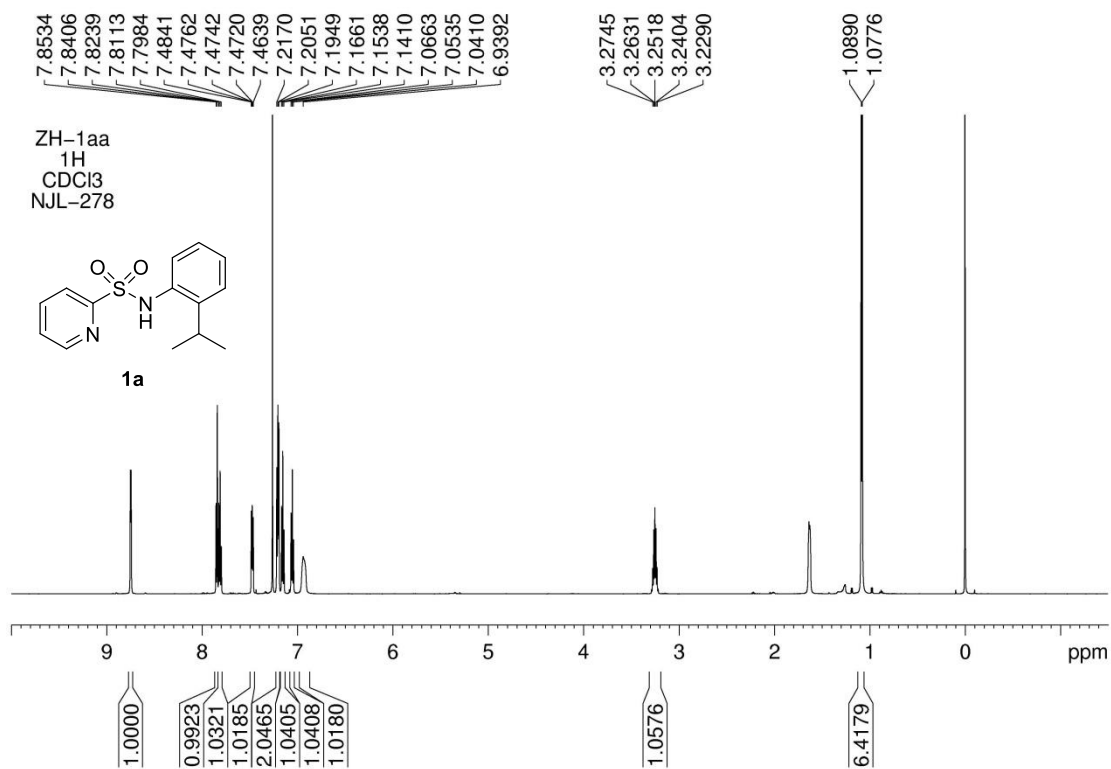
**2,5-dimethyl-3-phenyl-1-(pyridin-2-ylsulfonyl)-1*H*-indole (2y):** white solid, (23.9 mg, 33%), mp: 121-122 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 (d,  $J = 4.6$  Hz, 1H), 8.07 (d,  $J = 7.9$  Hz, 1H), 8.02 (d,  $J = 8.5$  Hz, 1H), 7.86 (td,  $J = 7.8, 1.6$  Hz, 1H), 7.47 (t,  $J = 7.6$  Hz, 2H), 7.44 – 7.41 (m, 1H), 7.40 – 7.35 (m, 3H), 7.17 (s, 1H), 7.07 (d,  $J = 8.6$  Hz, 1H), 2.72 (s, 3H), 2.35 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  156.2, 150.4, 137.9, 134.8, 134.2, 133.3, 130.4, 130.1, 128.5, 127.3, 127.2, 125.3, 122.2, 122.0, 119.1, 114.1, 21.2, 13.5. HRMS (ESI)  $m/z$  calcd for

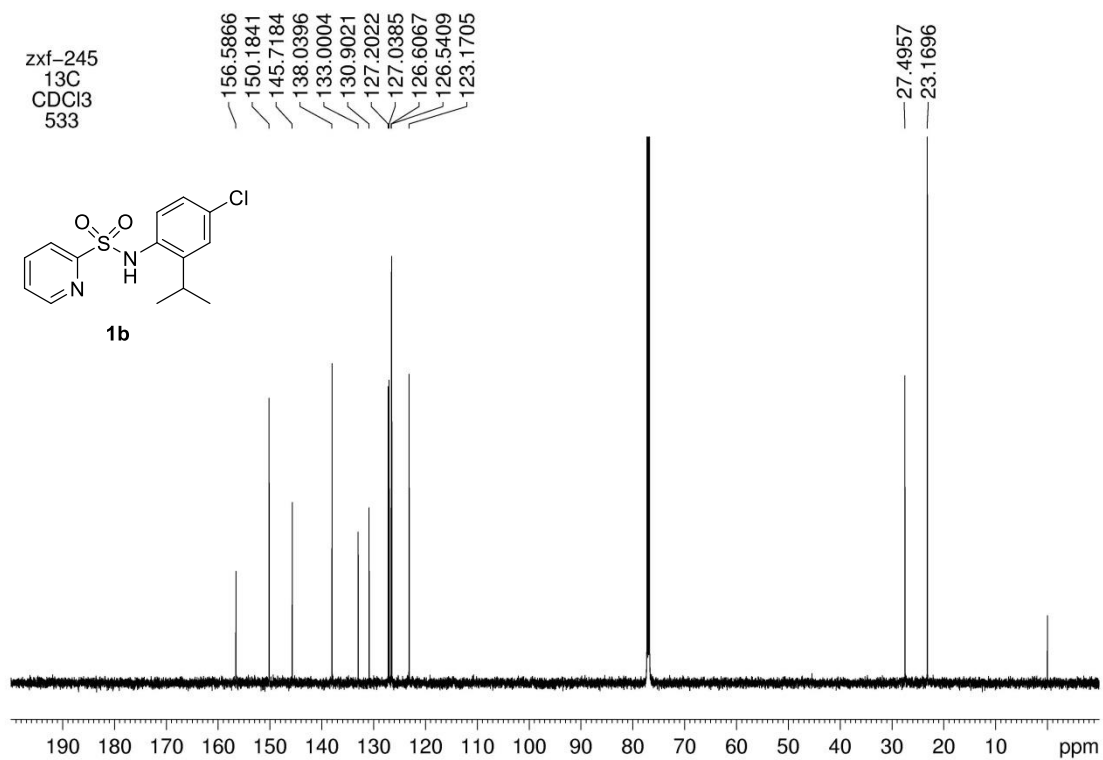
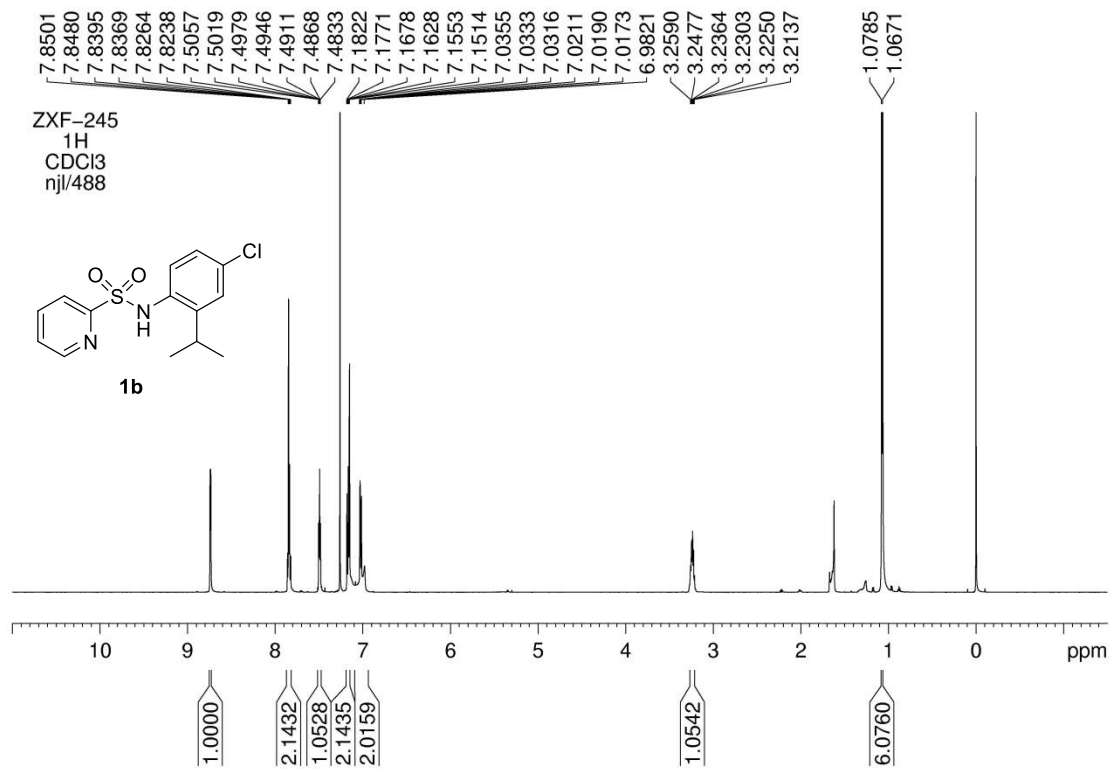
$C_{21}H_{18}N_2O_2S+H^+$ : 363.1162 [ $M+H$ ] $^+$ ; found: 363.1175.

**3-propyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2z)**: white solid, (16.1 mg, 27%), mp: 105-106 °C,  $R_f = 0.5$  (PE/EA = 5/1).  $^1H$  NMR (600 MHz,  $CDCl_3$ )  $\delta$  8.58 (ddd,  $J = 4.6, 1.5, 0.7$  Hz, 1H), 8.08 (d,  $J = 7.9$  Hz, 1H), 7.99 (d,  $J = 8.2$  Hz, 1H), 7.85 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.48 (d,  $J = 7.6$  Hz, 1H), 7.42 (td,  $J = 6.2, 1.0$  Hz, 2H), 7.30 – 7.26 (m, 1H), 7.24 – 7.21 (m, 1H), 2.66 – 2.62 (m, 2H), 1.76 – 1.68 (m, 2H), 0.97 (t,  $J = 7.4$  Hz, 3H).  $^{13}C$  NMR (151 MHz,  $CDCl_3$ )  $\delta$  155.5, 150.4, 138.0, 135.5, 131.4, 127.4, 124.5, 123.6, 123.1, 122.2, 119.5, 113.9, 26.9, 22.1, 13.9. HRMS (ESI)  $m/z$  calcd for  $C_{16}H_{16}N_2O_2S+H^+$ : 301.1005 [ $M+H$ ] $^+$ ; found: 301.1009.

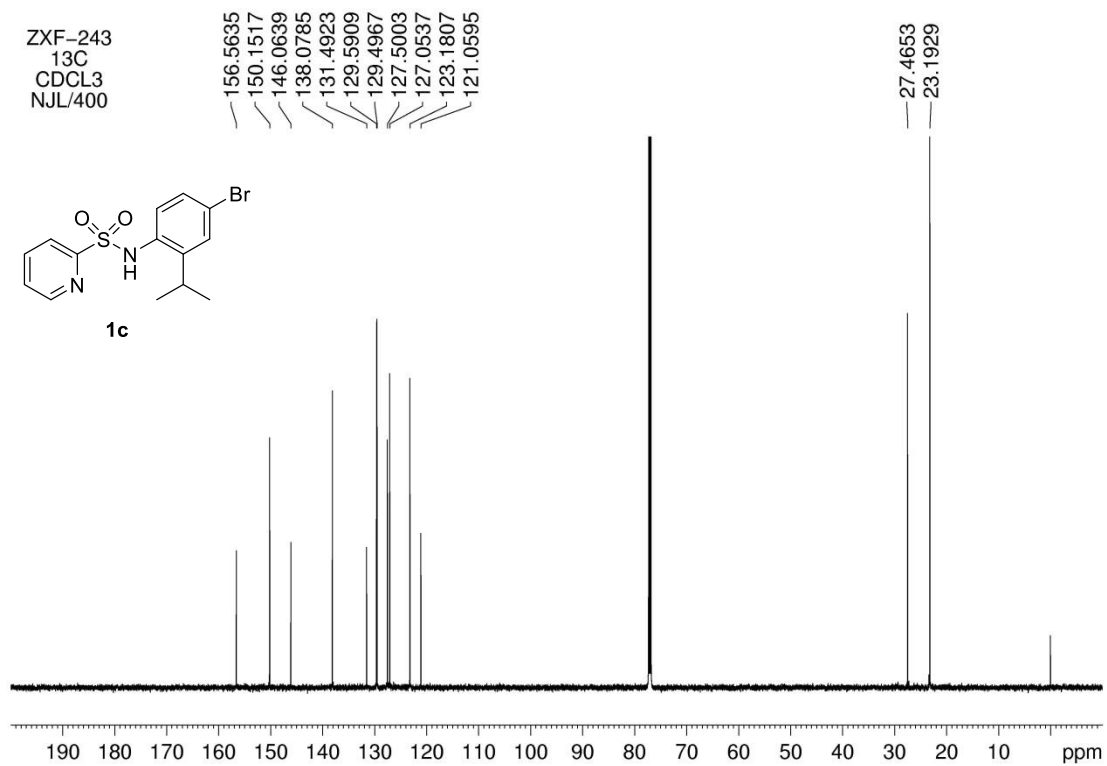
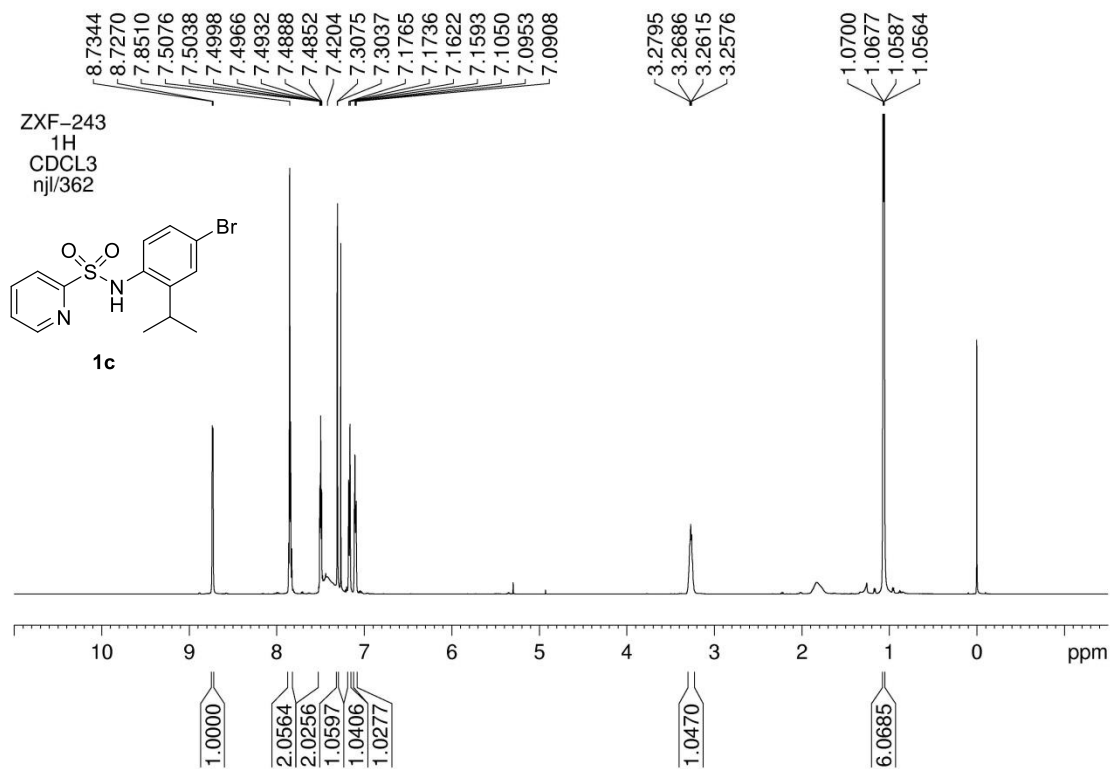
**2-ethyl-3-methyl-1-(pyridin-2-ylsulfonyl)-1H-indole (2z')**: yellow solid, (24.1 mg, 40%), mp: 97-98 °C,  $R_f = 0.6$  (PE/EA = 5/1).  $^1H$  NMR (600 MHz,  $CDCl_3$ )  $\delta$  8.54 (d,  $J = 4.6$  Hz, 1H), 8.12 – 8.06 (m, 1H), 7.95 (d,  $J = 7.9$  Hz, 1H), 7.80 (td,  $J = 7.8, 1.7$  Hz, 1H), 7.38 (ddt,  $J = 7.8, 6.6, 2.3$  Hz, 2H), 7.21 (dt,  $J = 7.1, 3.2$  Hz, 2H), 3.13 (q,  $J = 7.4$  Hz, 2H), 2.17 (s, 3H), 1.31 (t,  $J = 7.4$  Hz, 3H).  $^{13}C$  NMR (151 MHz,  $CDCl_3$ )  $\delta$  156.1, 150.2, 140.0, 137.9, 136.2, 131.5, 127.2, 123.8, 123.4, 121.9, 118.3, 115.5, 114.8, 19.7, 14.9, 8.7. HRMS (ESI)  $m/z$  calcd for  $C_{16}H_{16}N_2O_2S+H^+$ : 301.1005 [ $M+H$ ] $^+$ ; found: 301.1011.

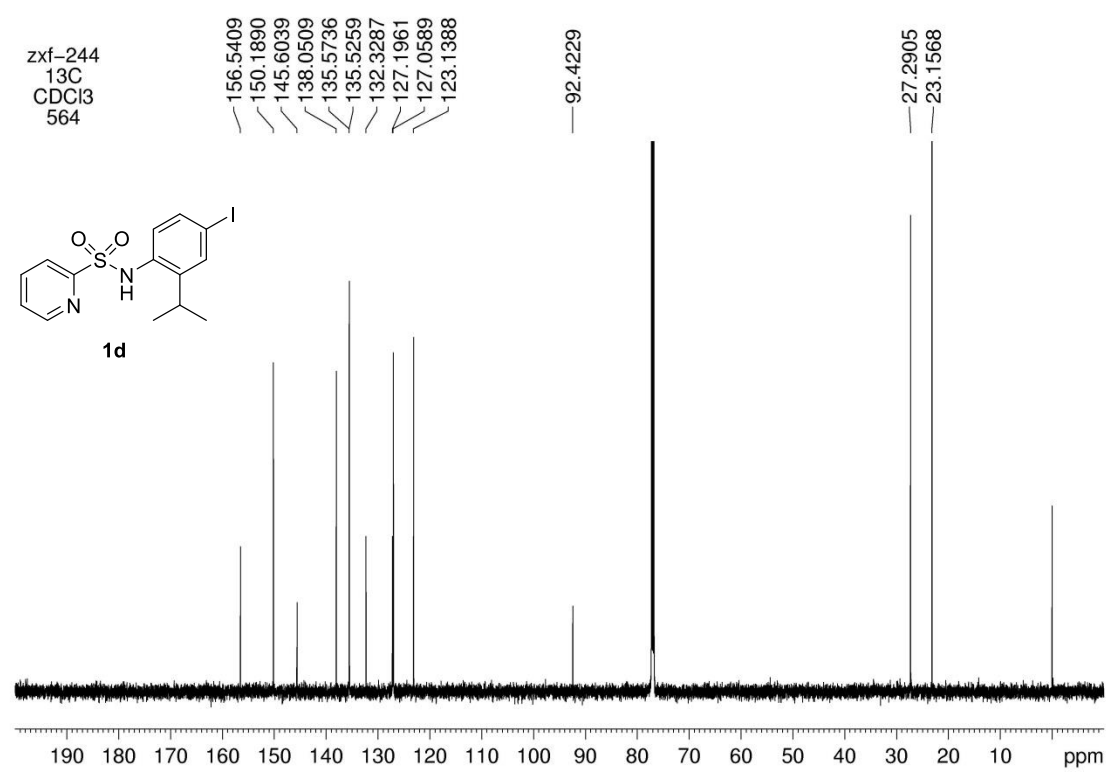
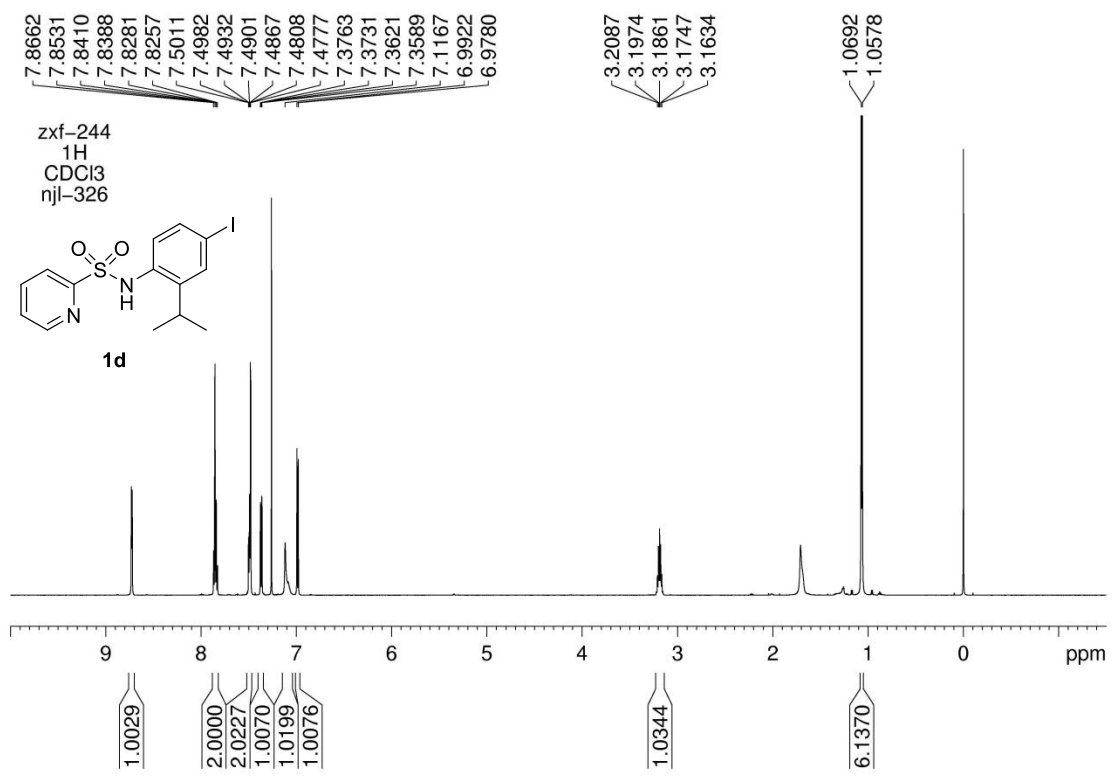
# NMR spectra

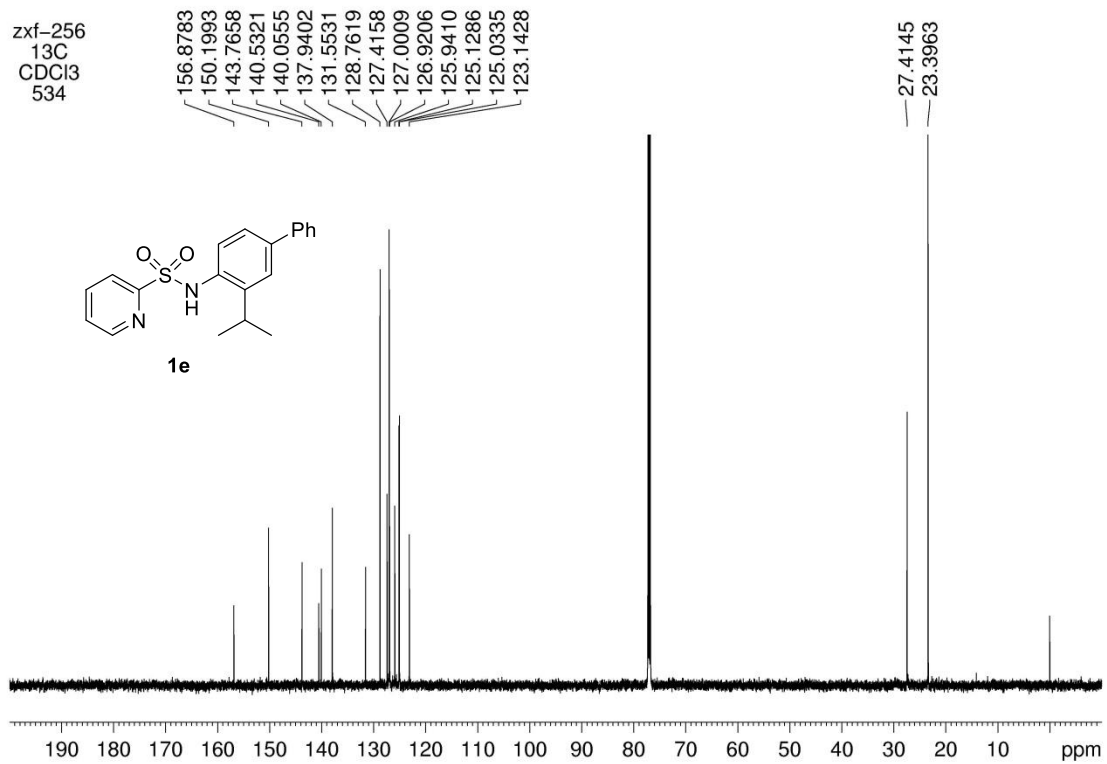
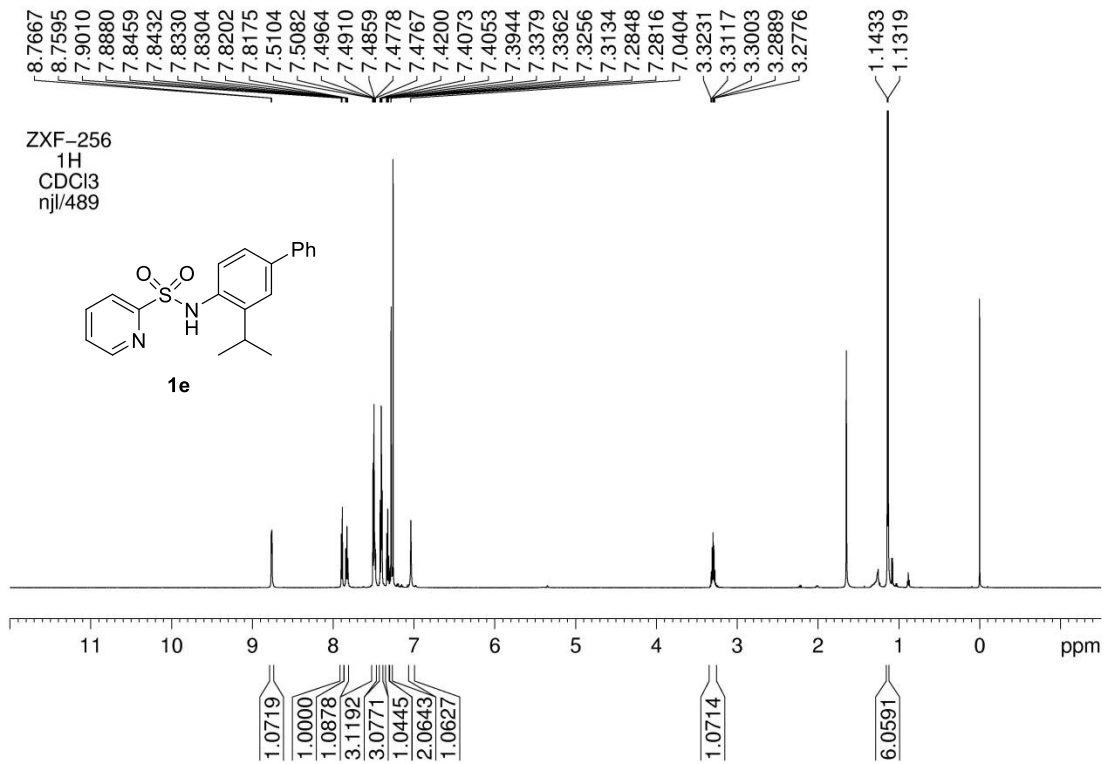


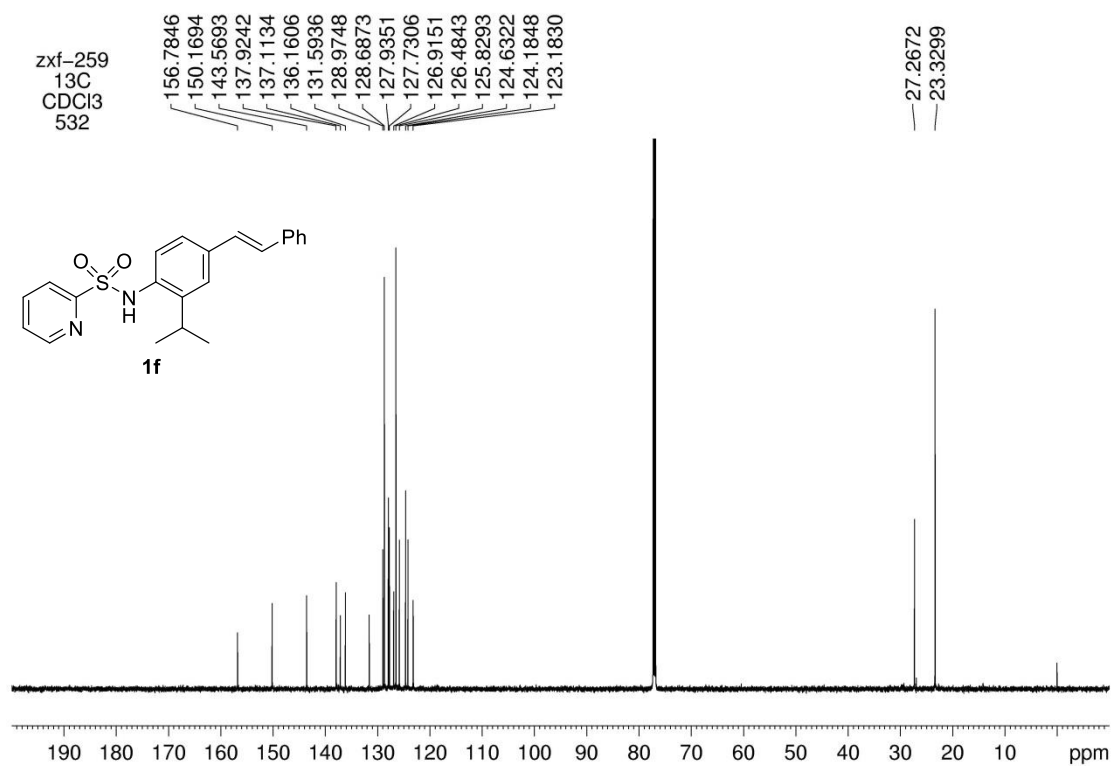
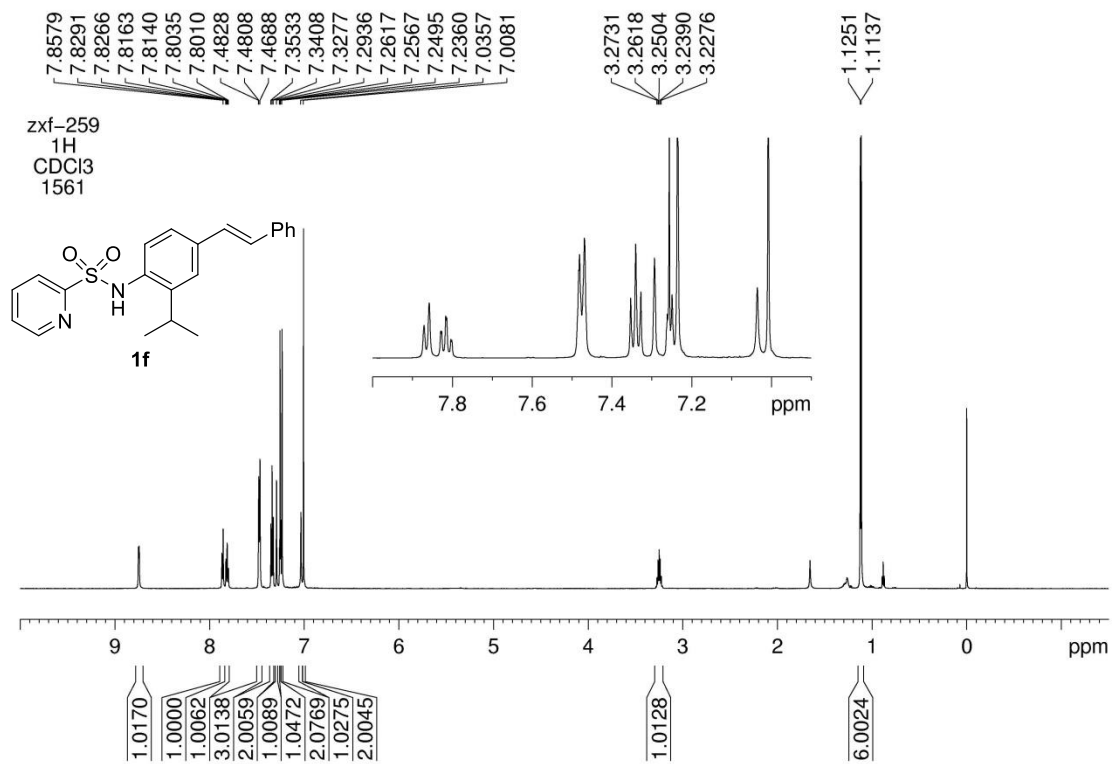


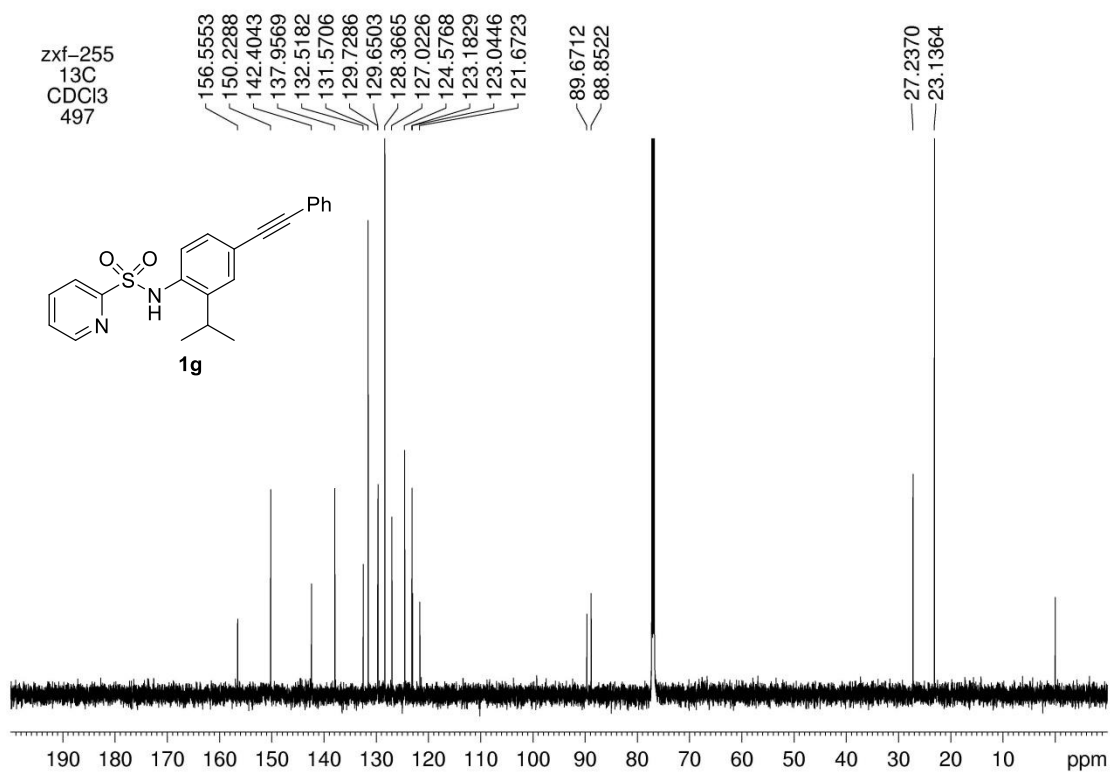
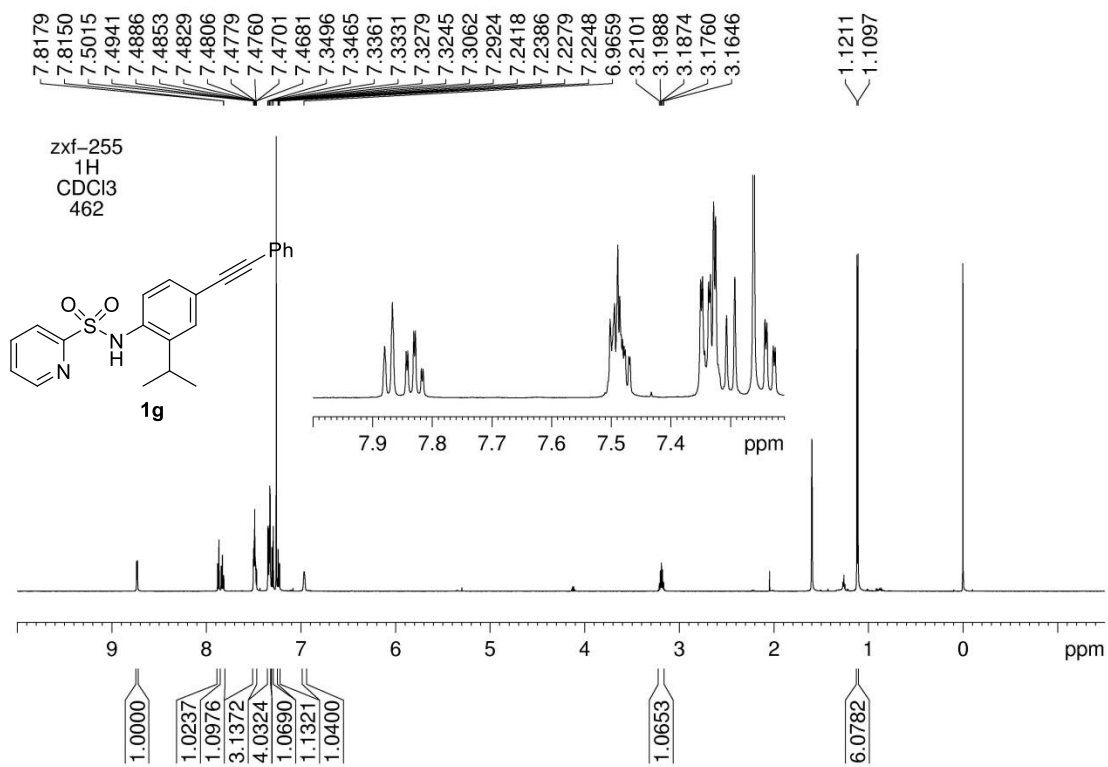


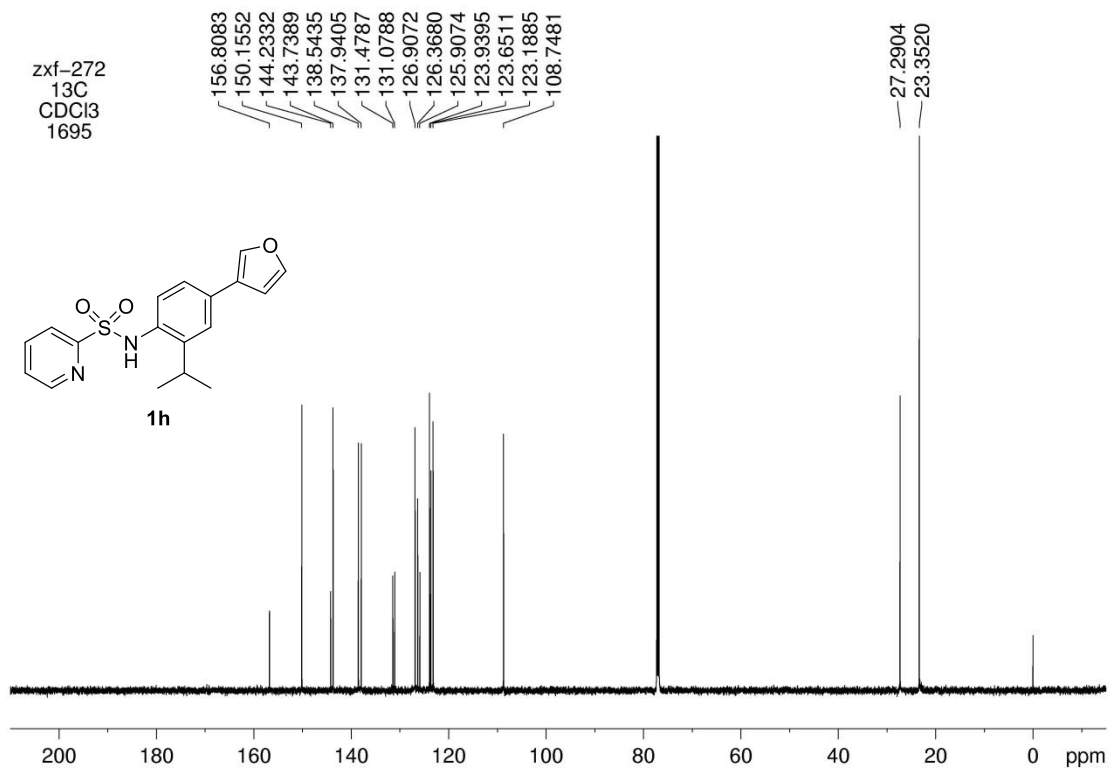
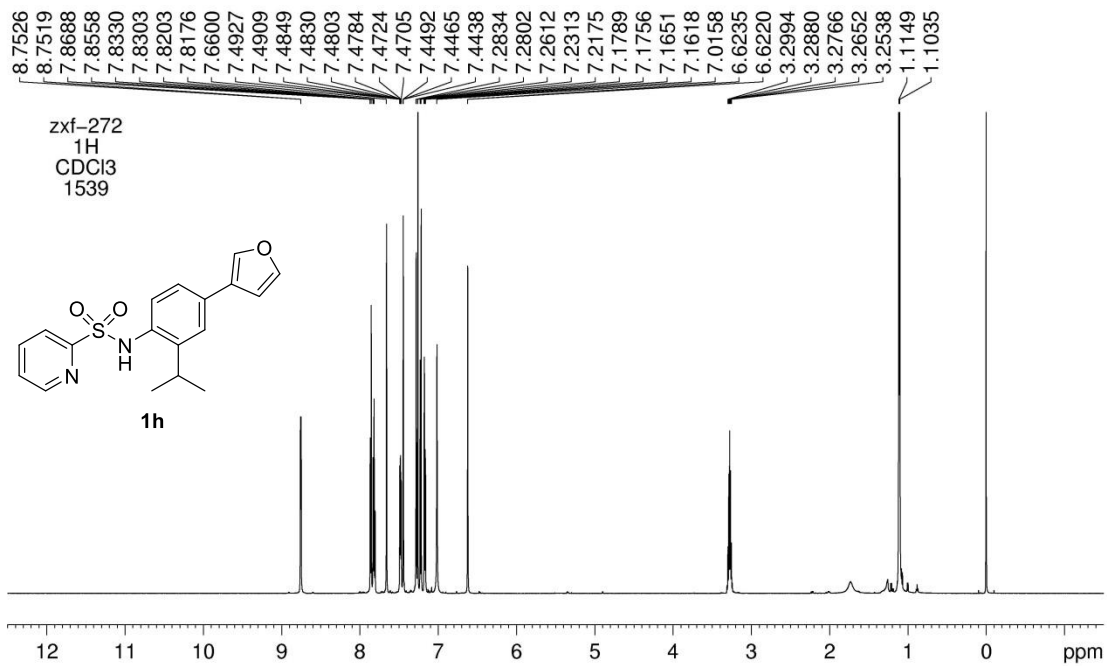


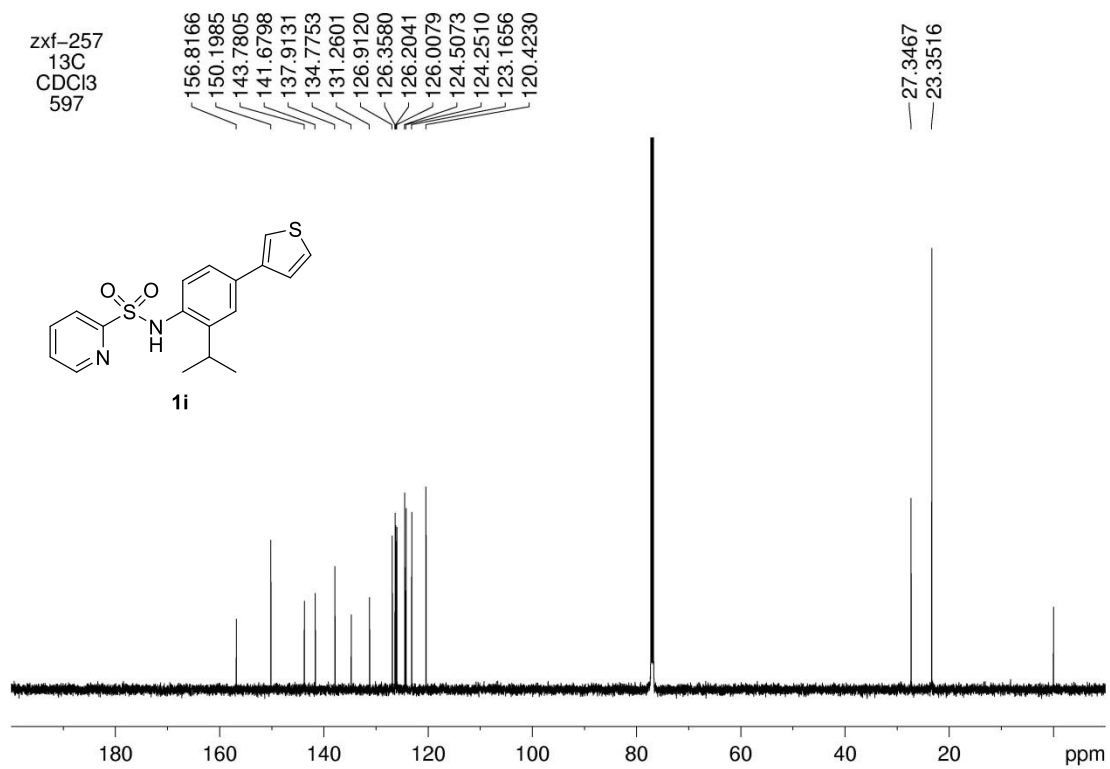
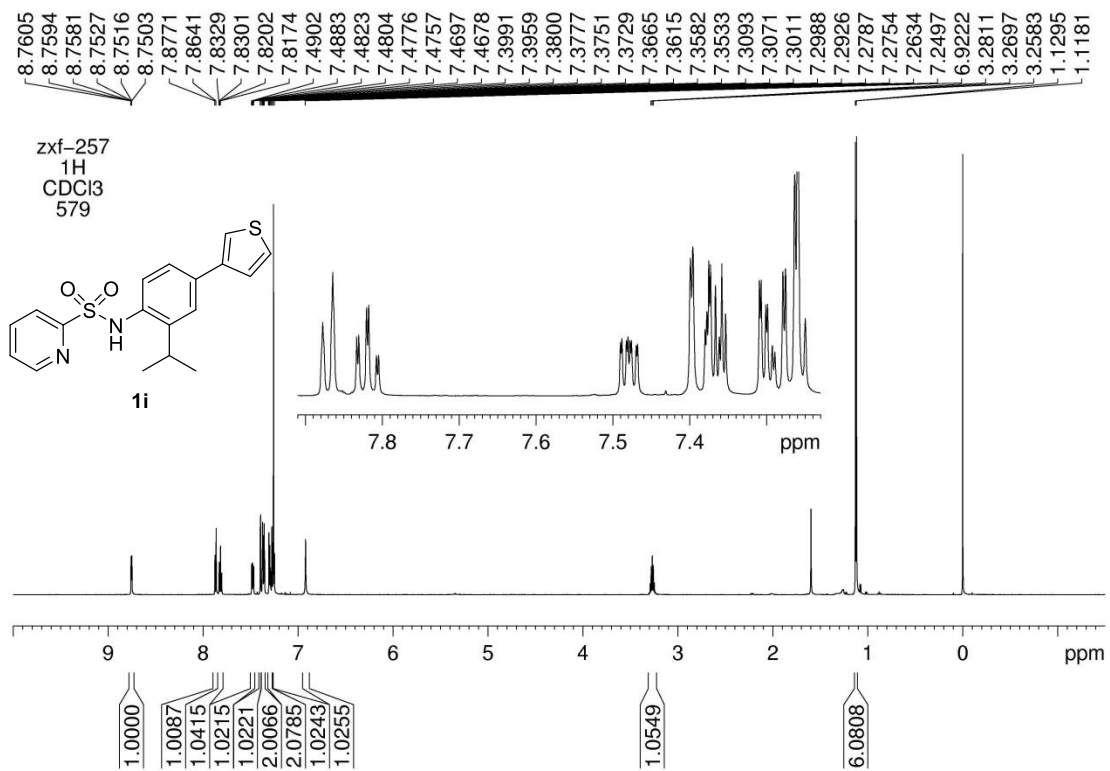


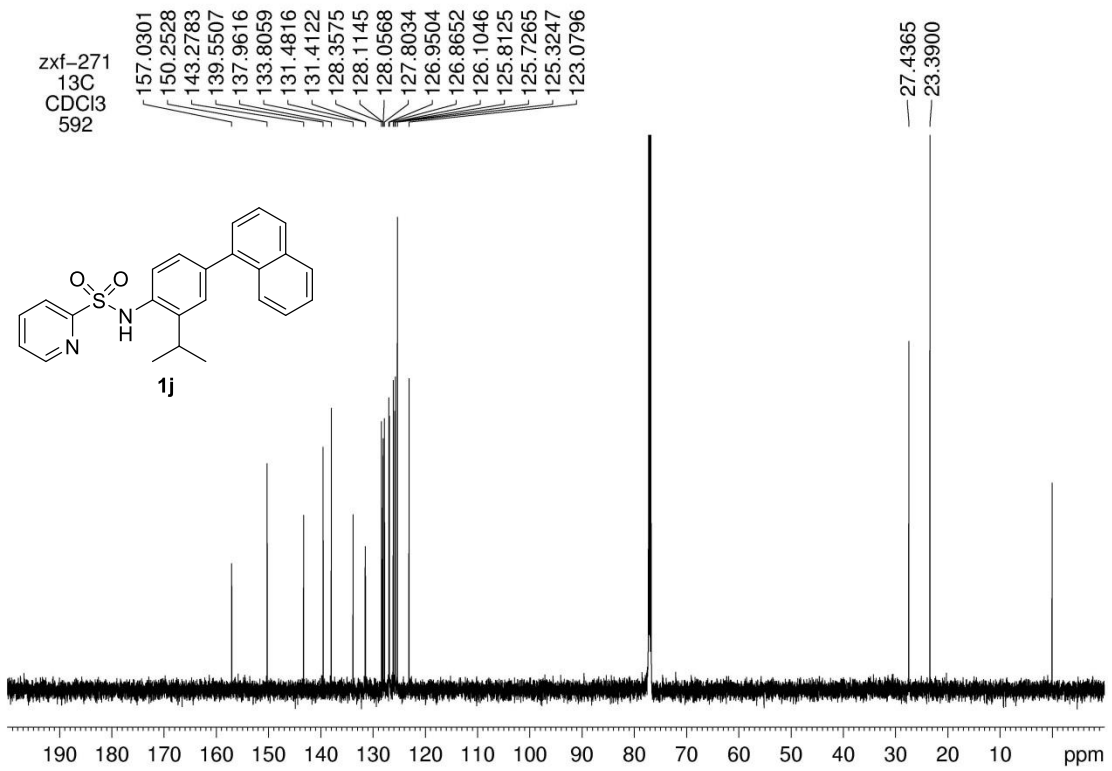
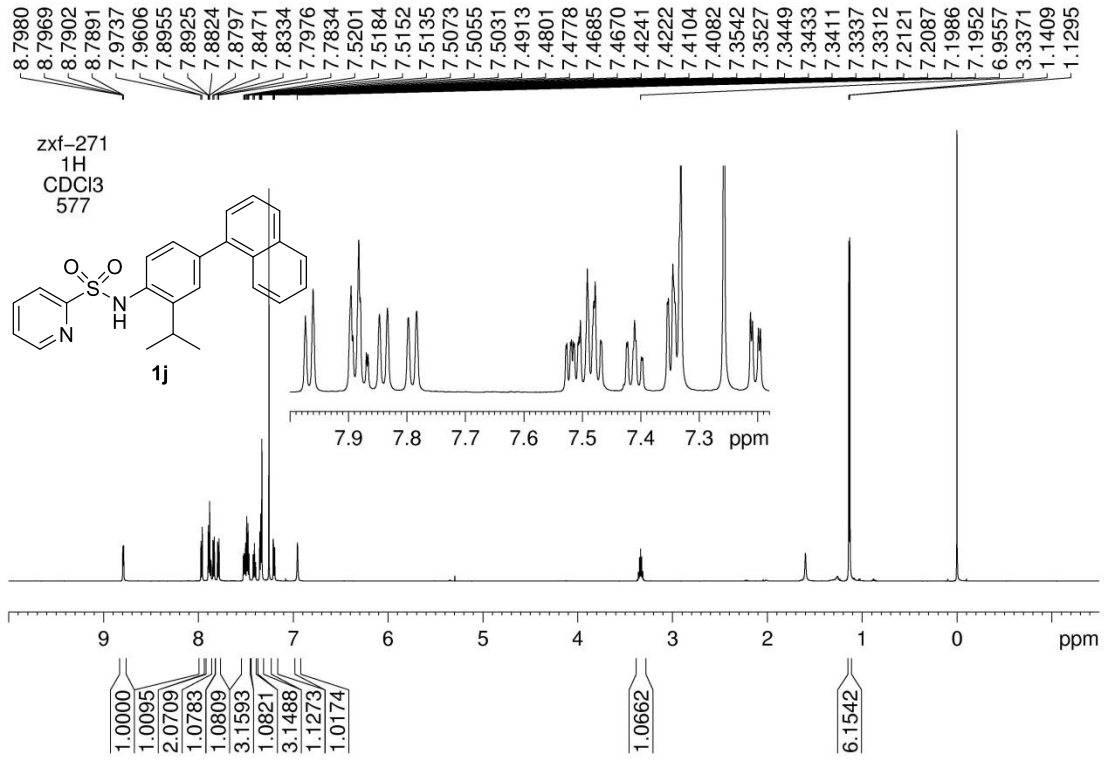




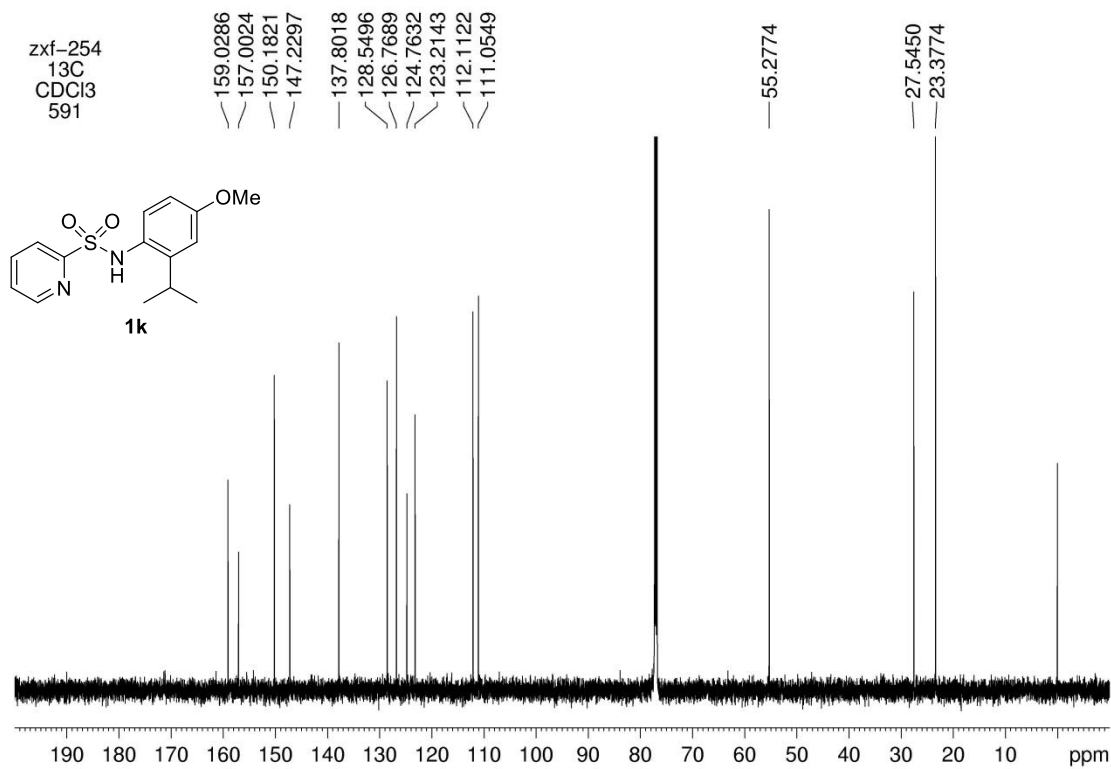
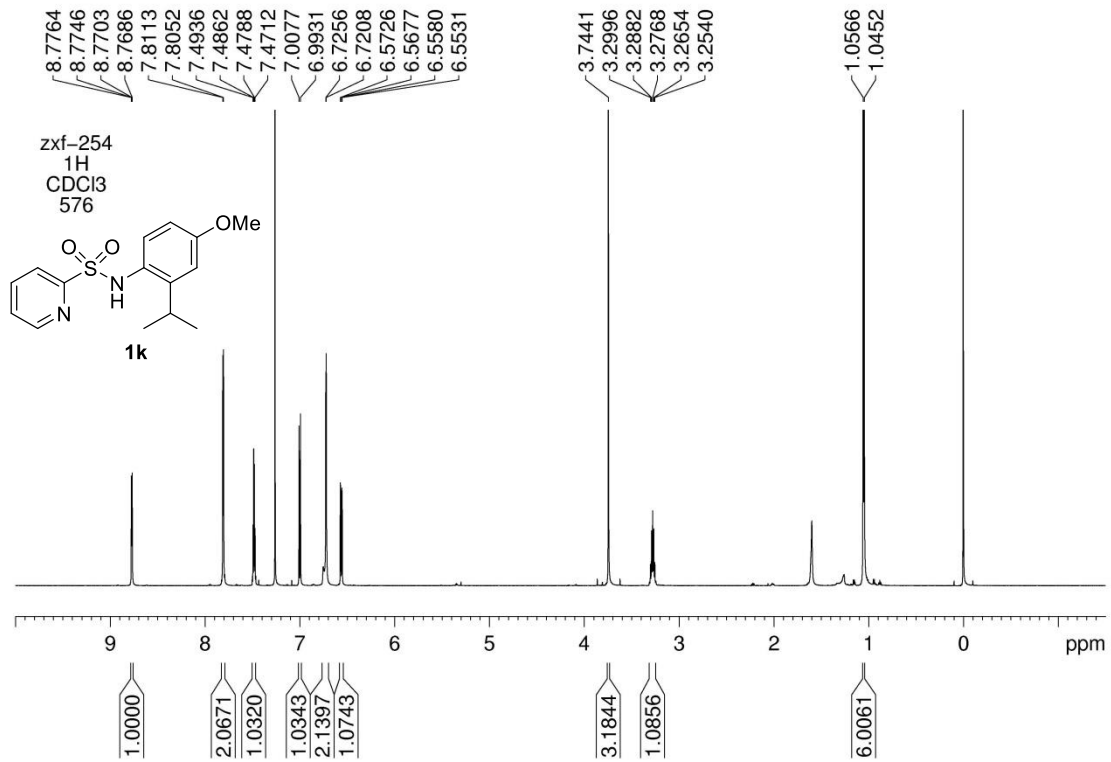


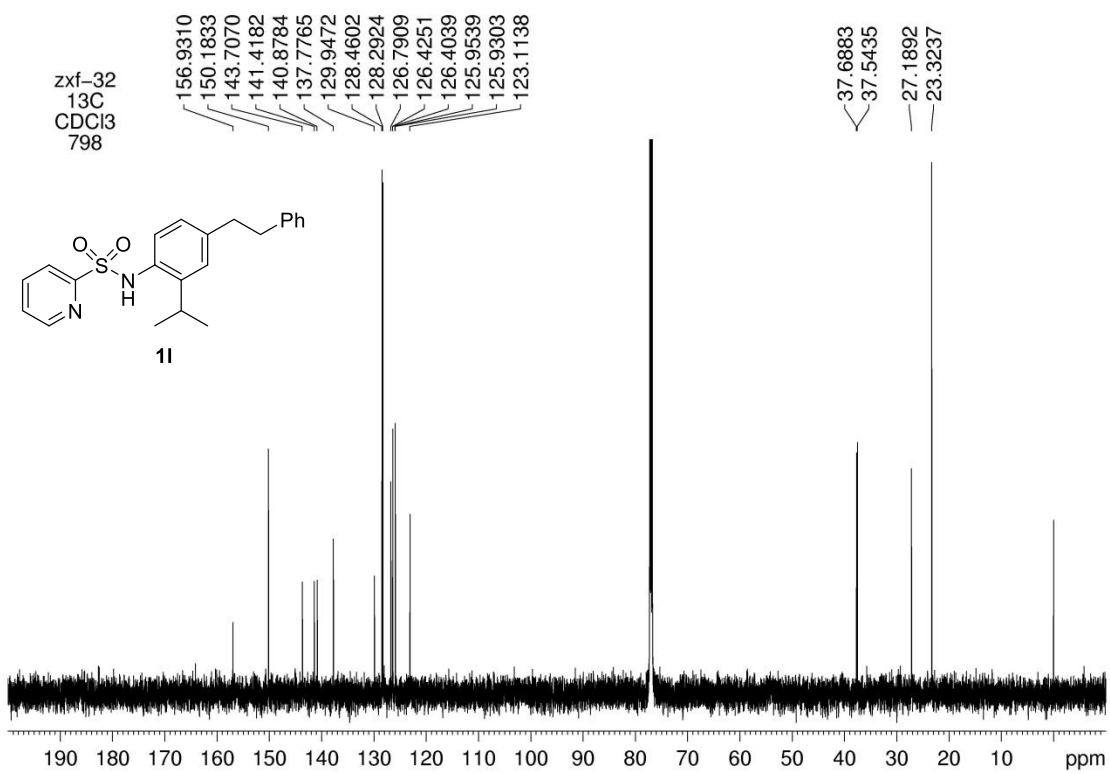
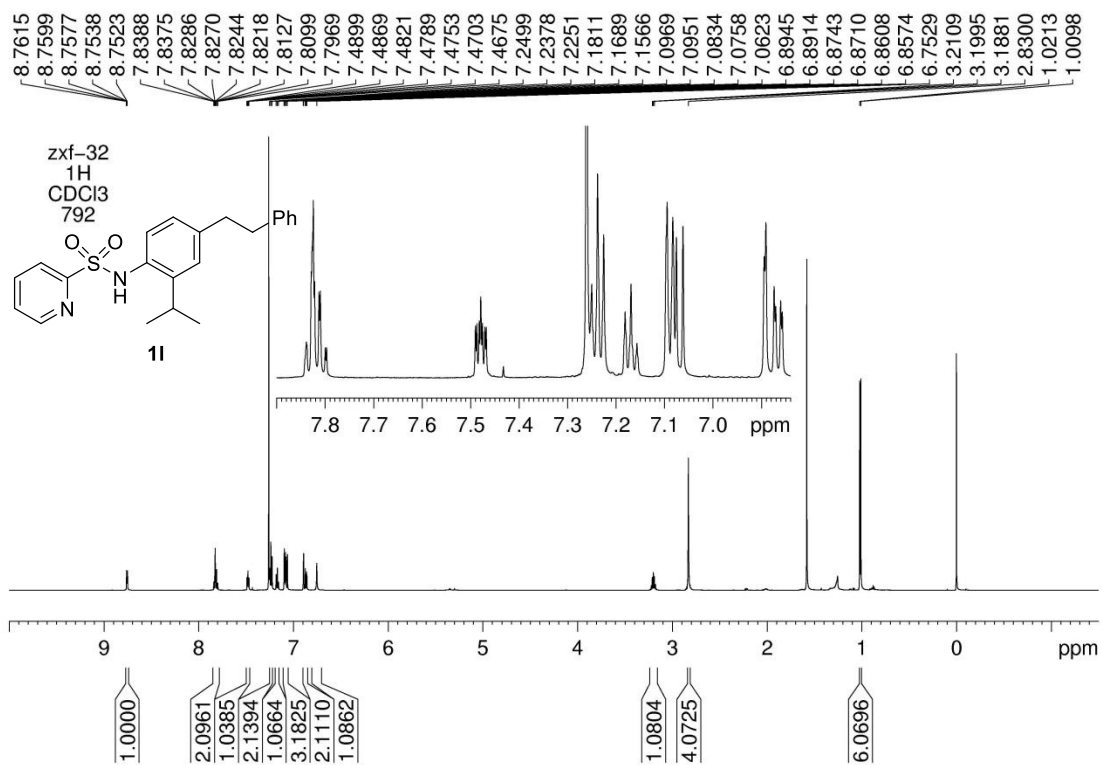


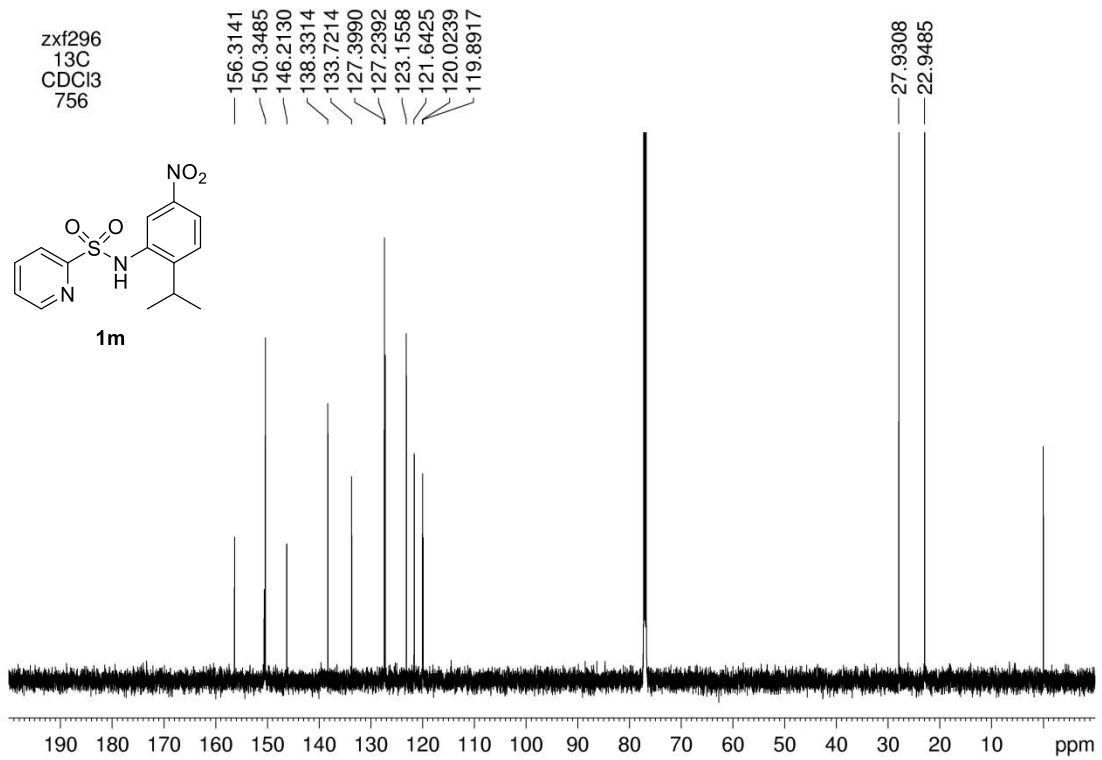
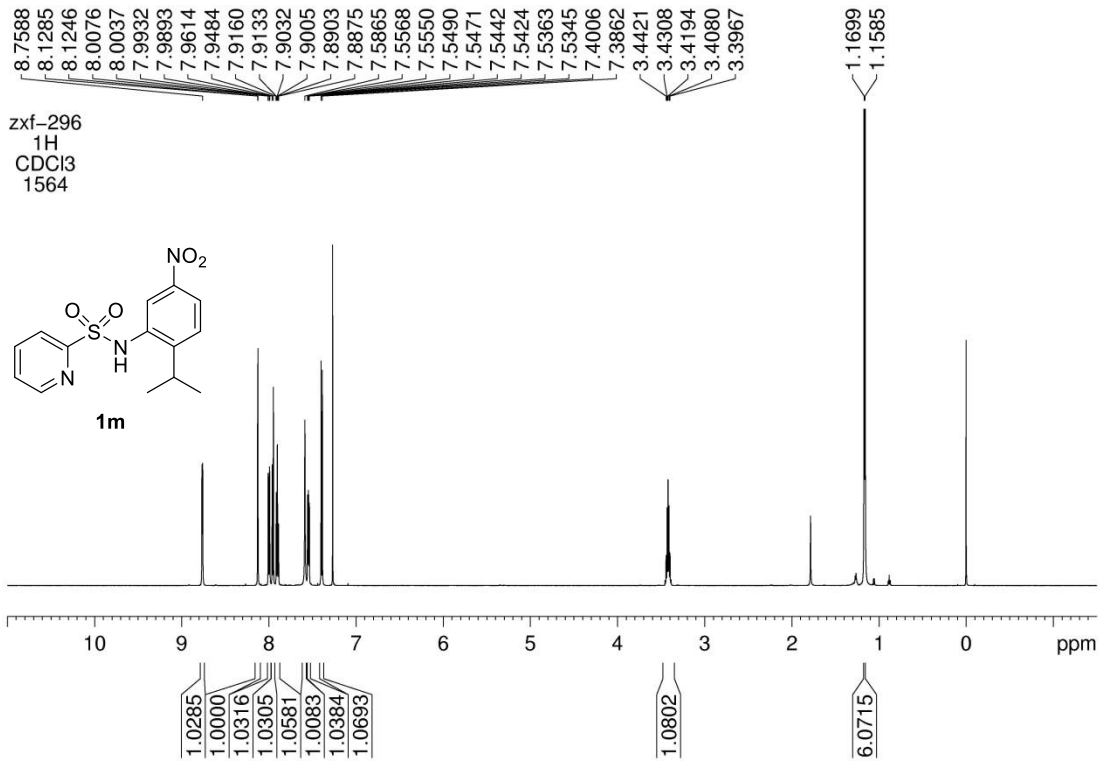


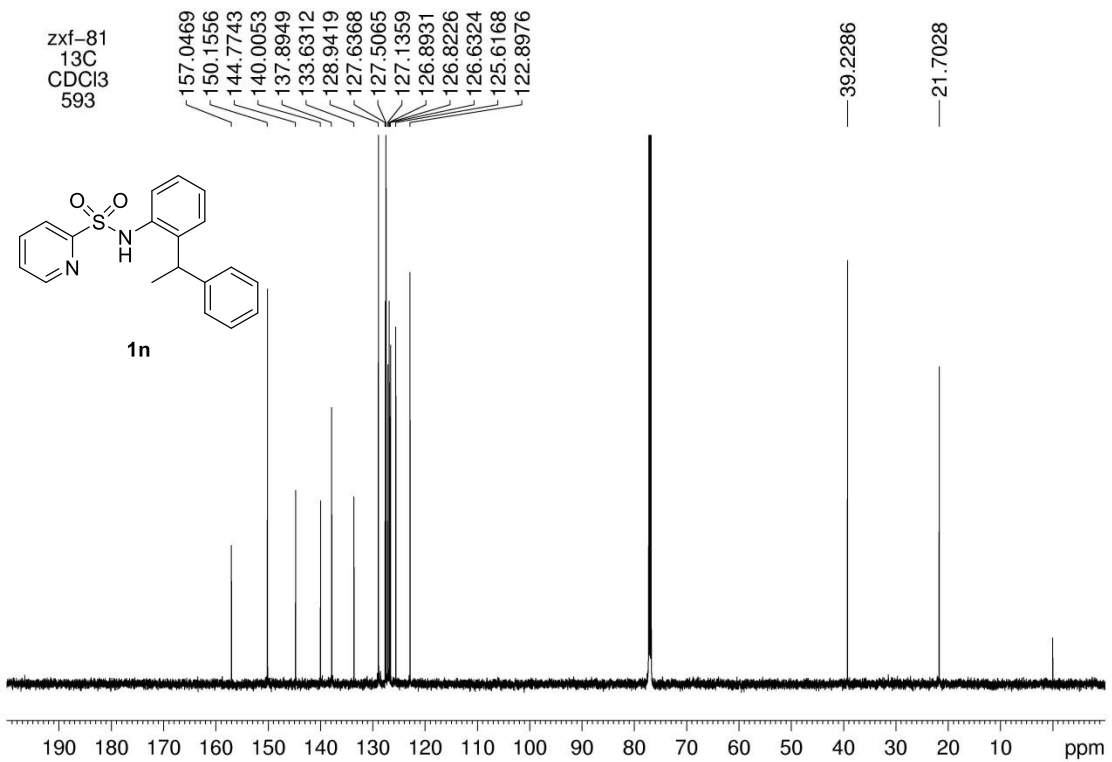
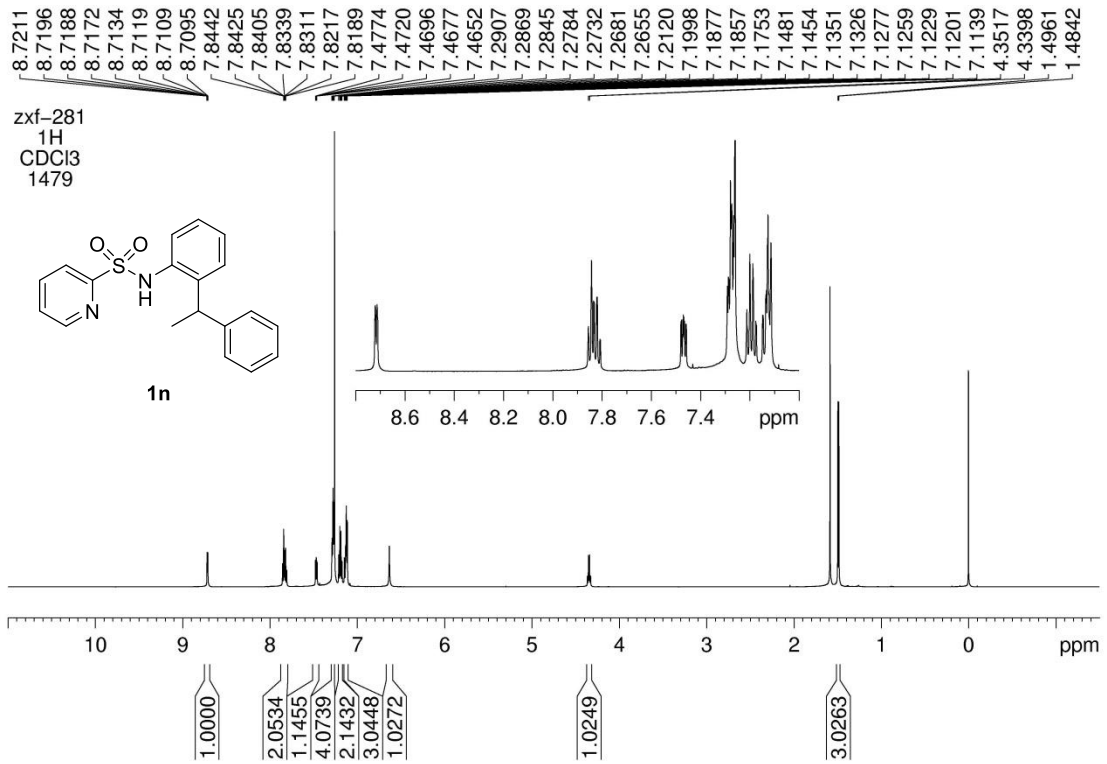


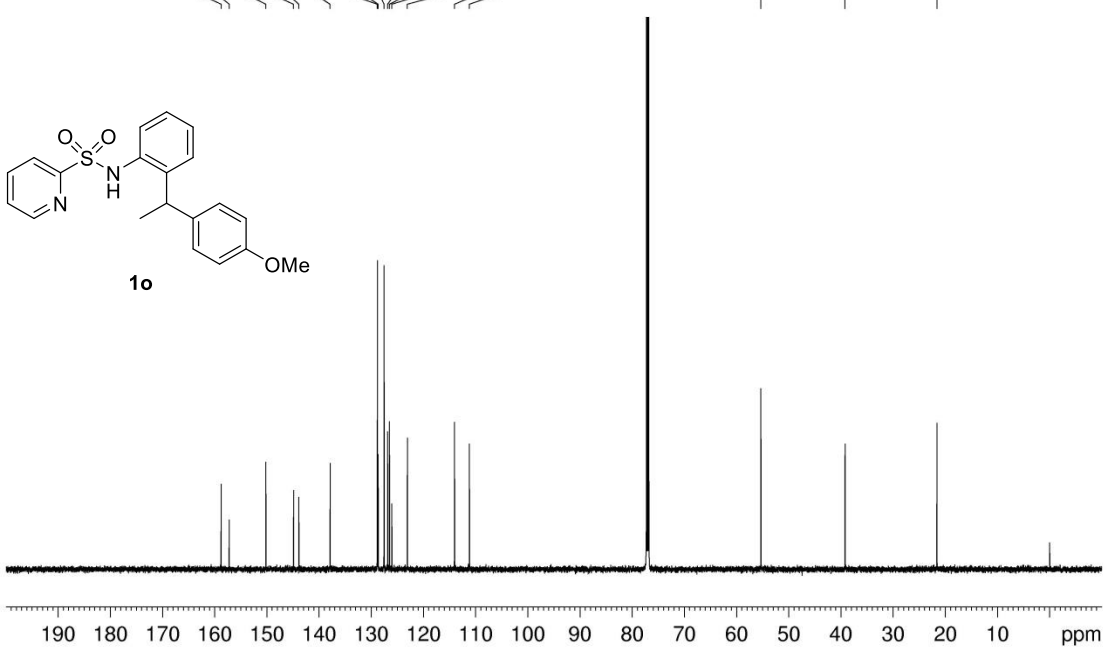
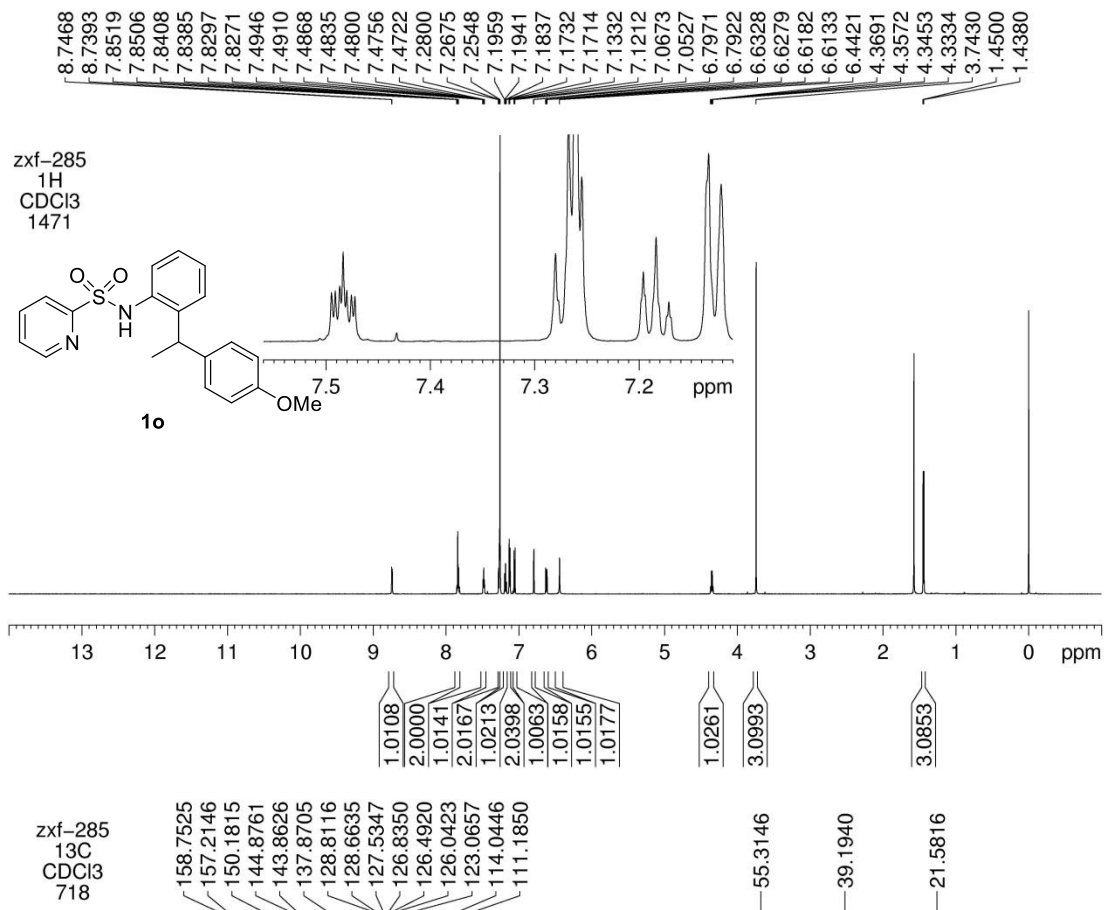


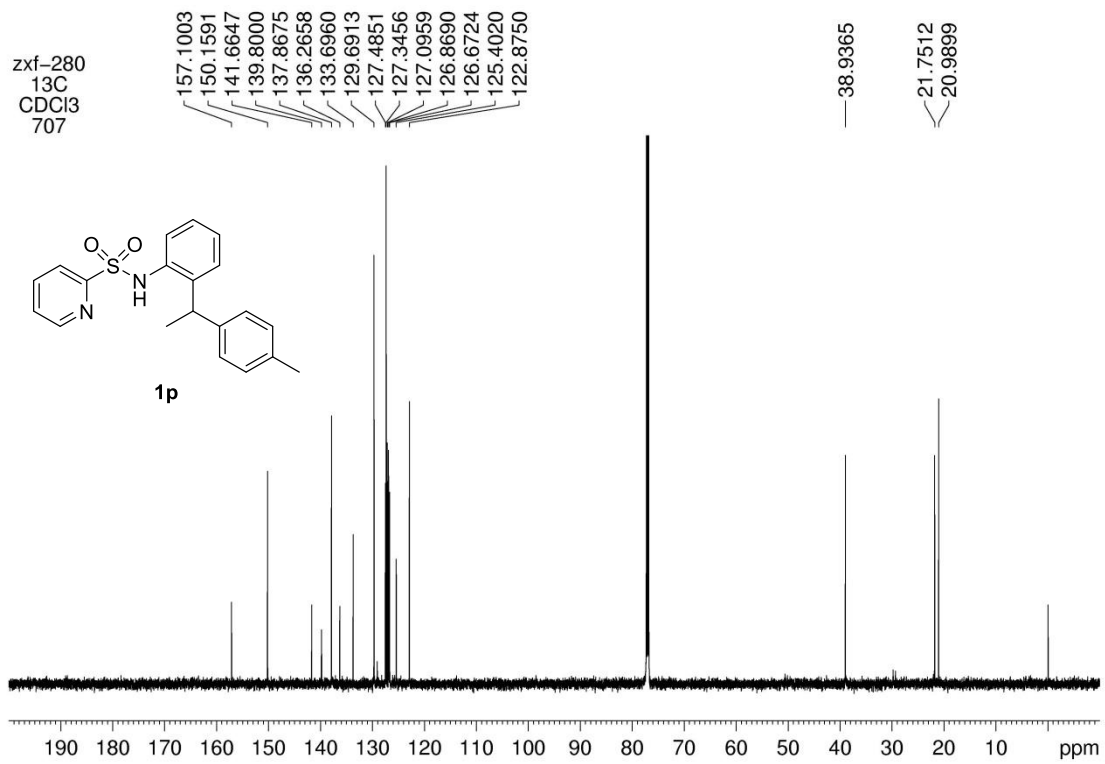
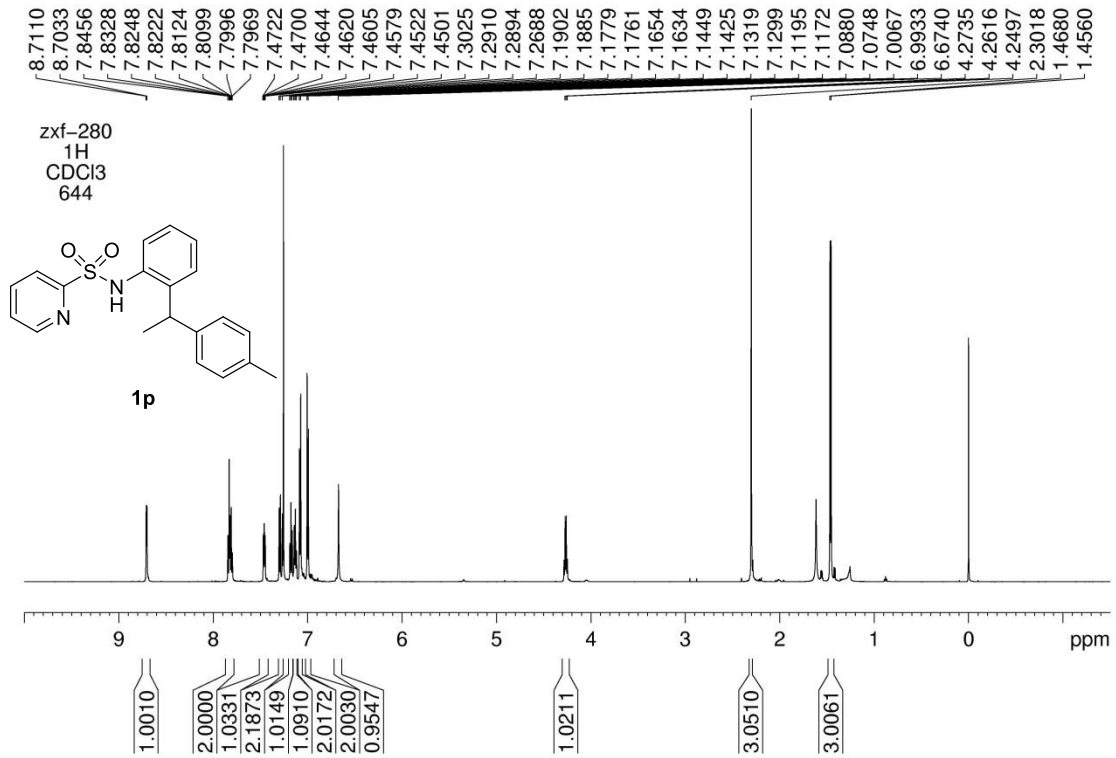


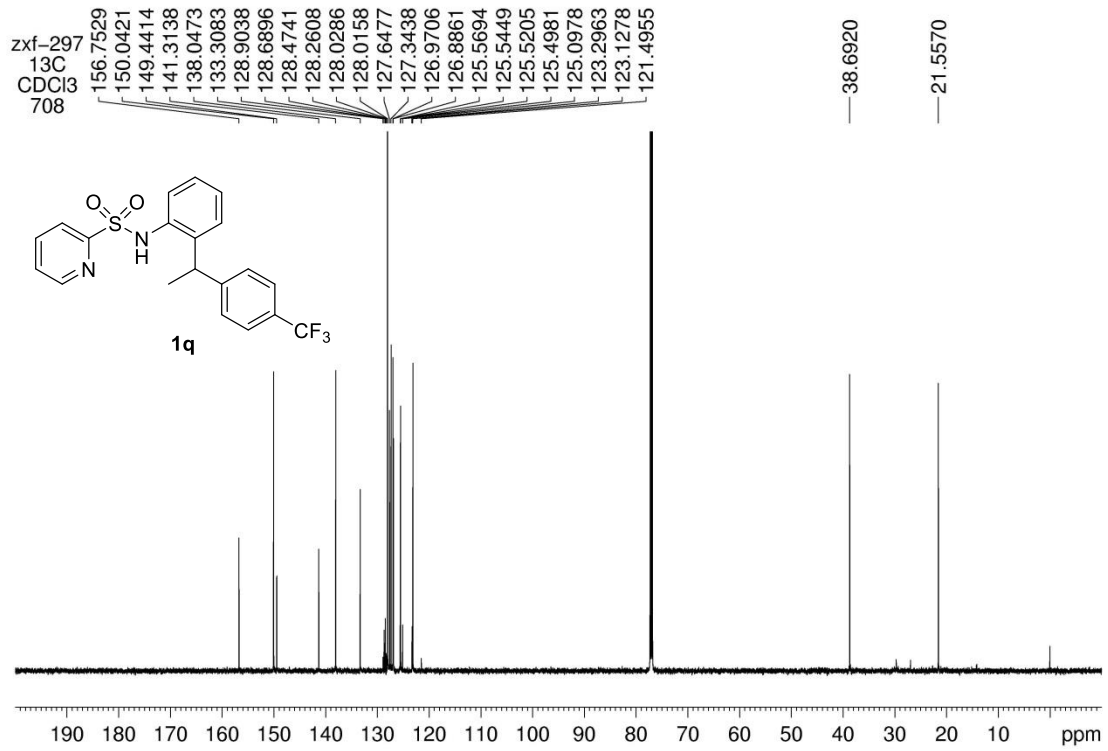
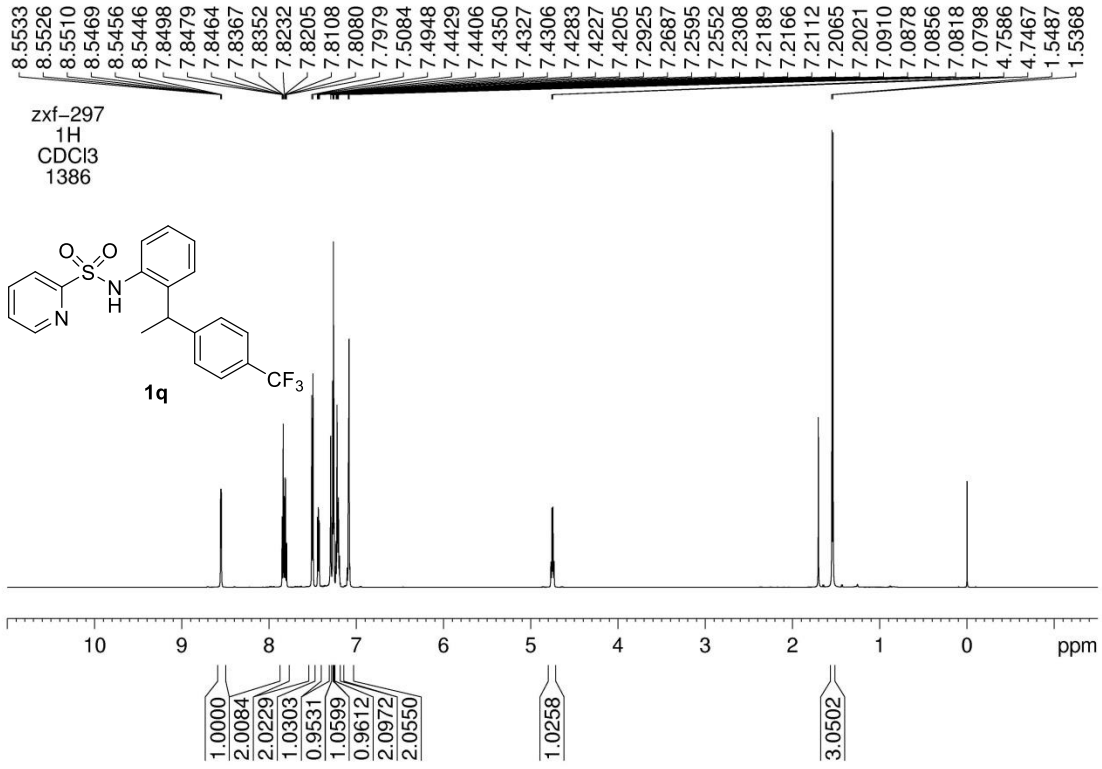


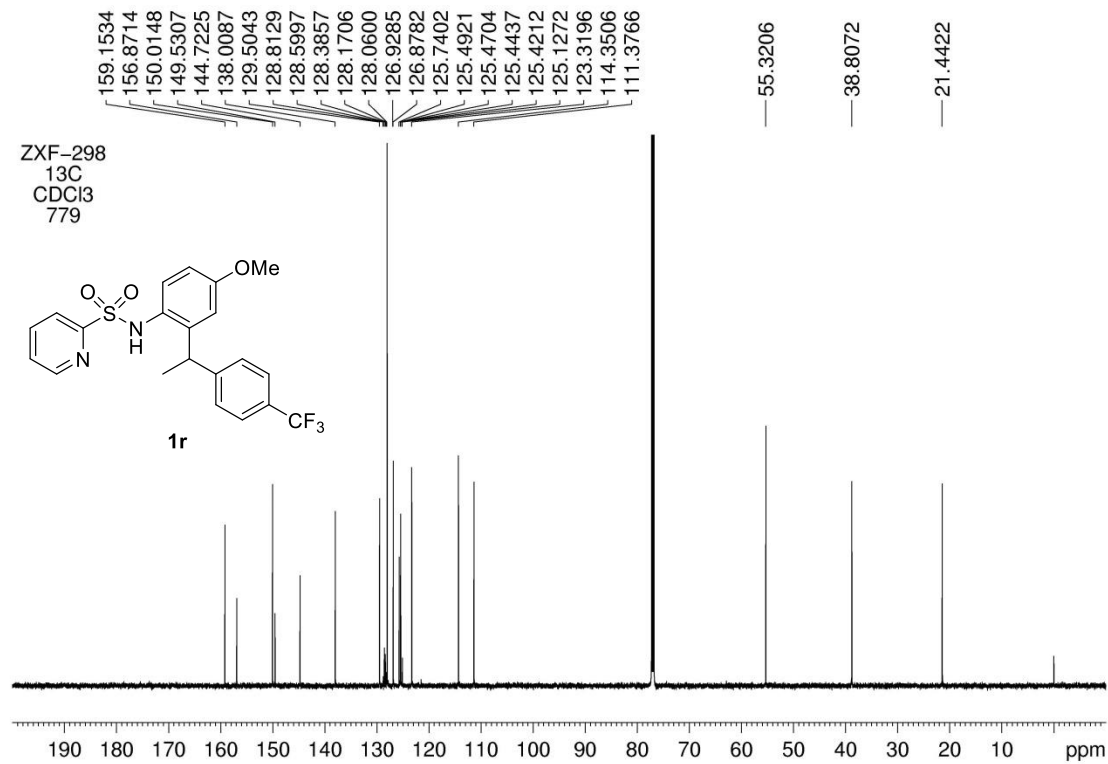
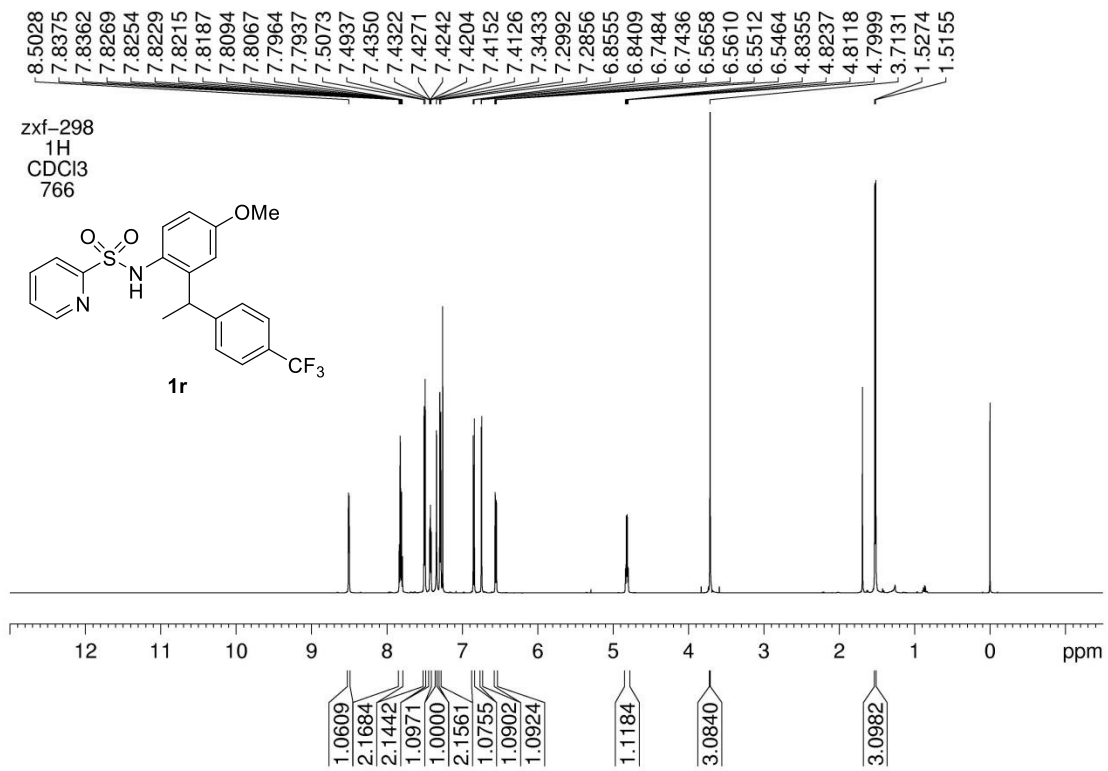




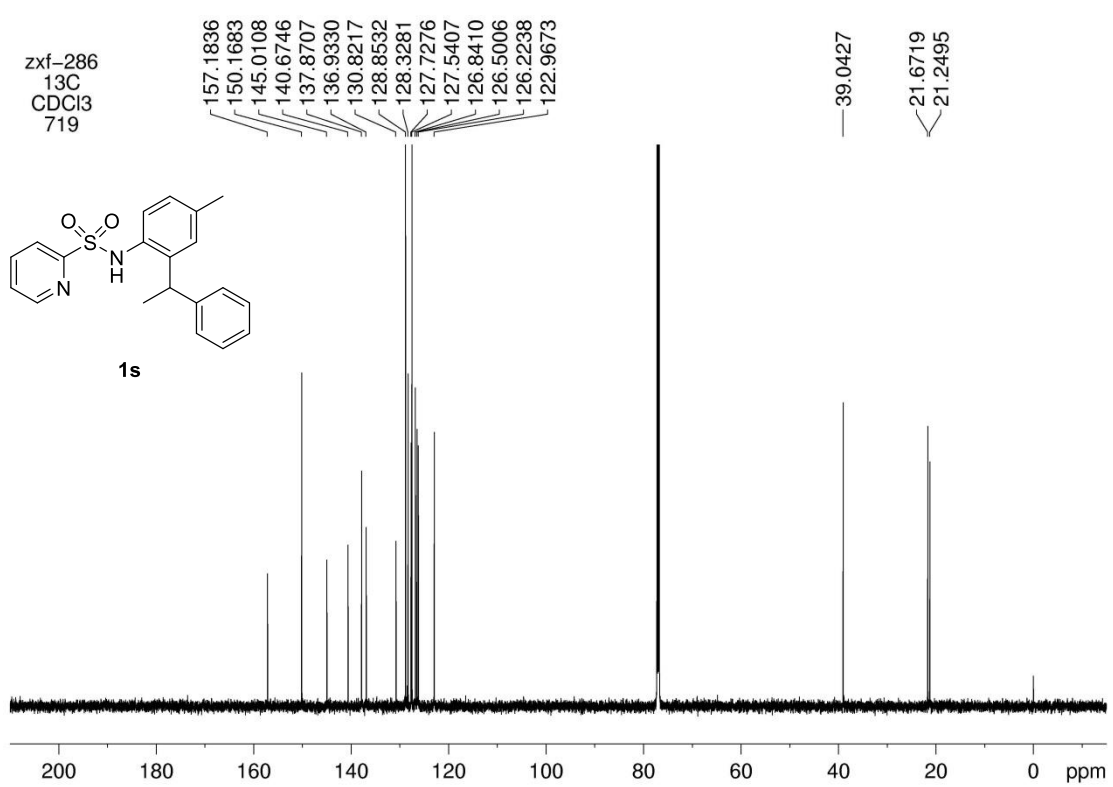
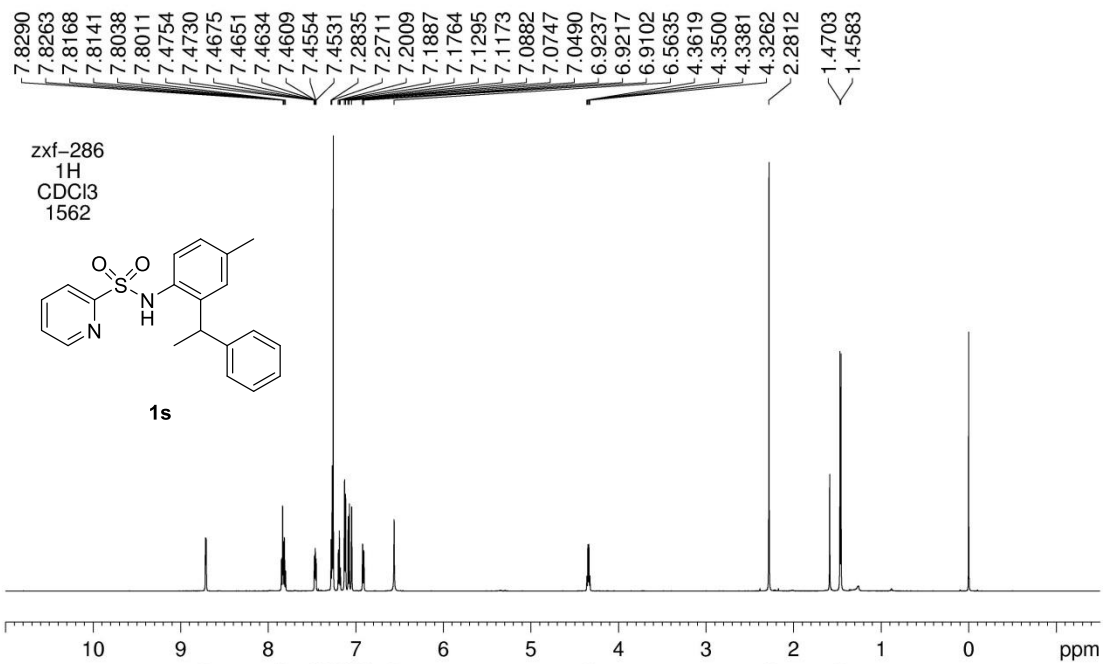


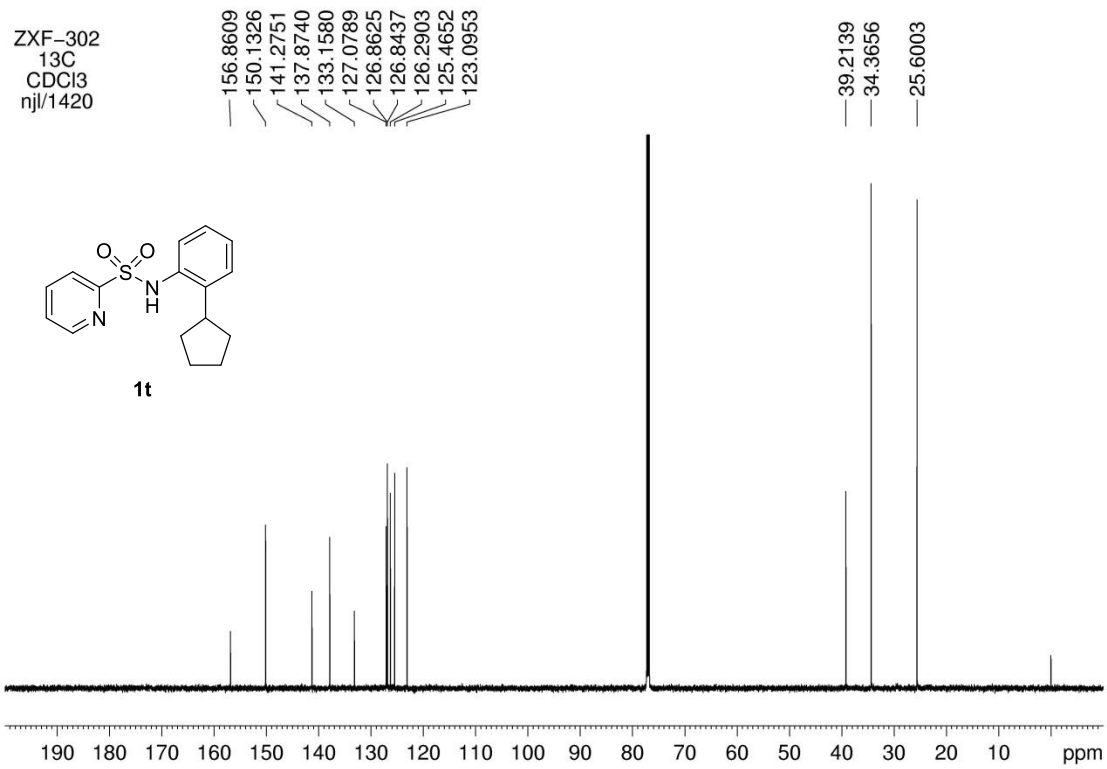
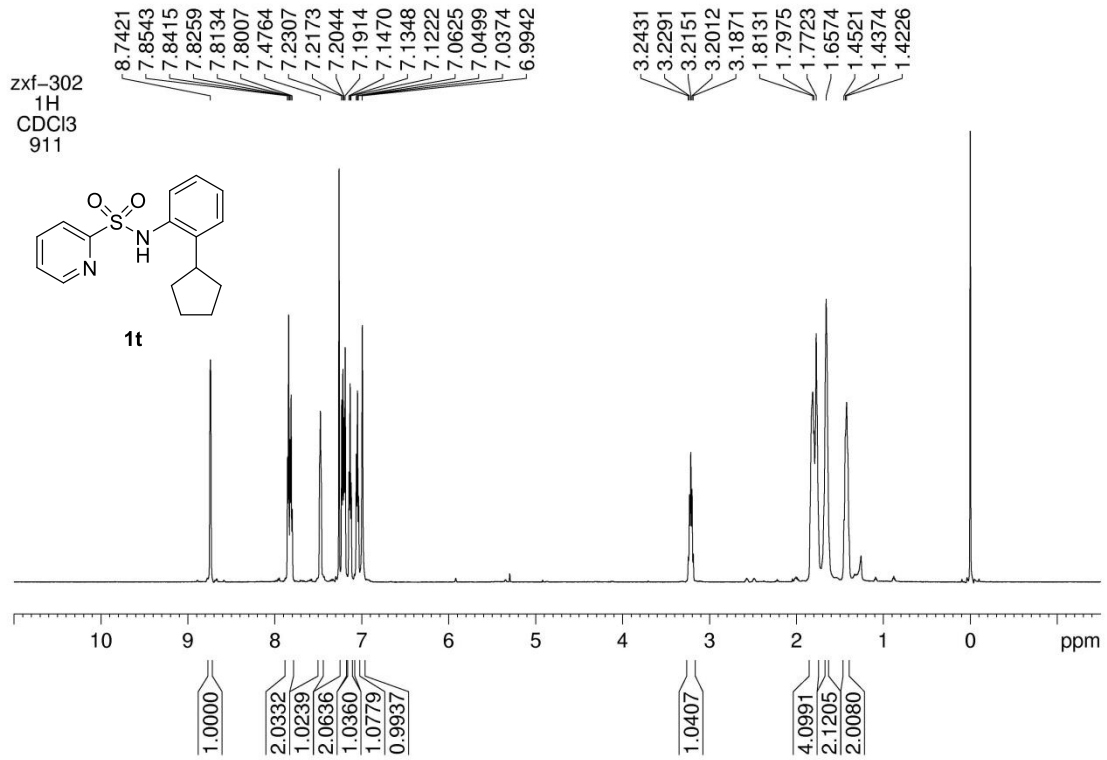


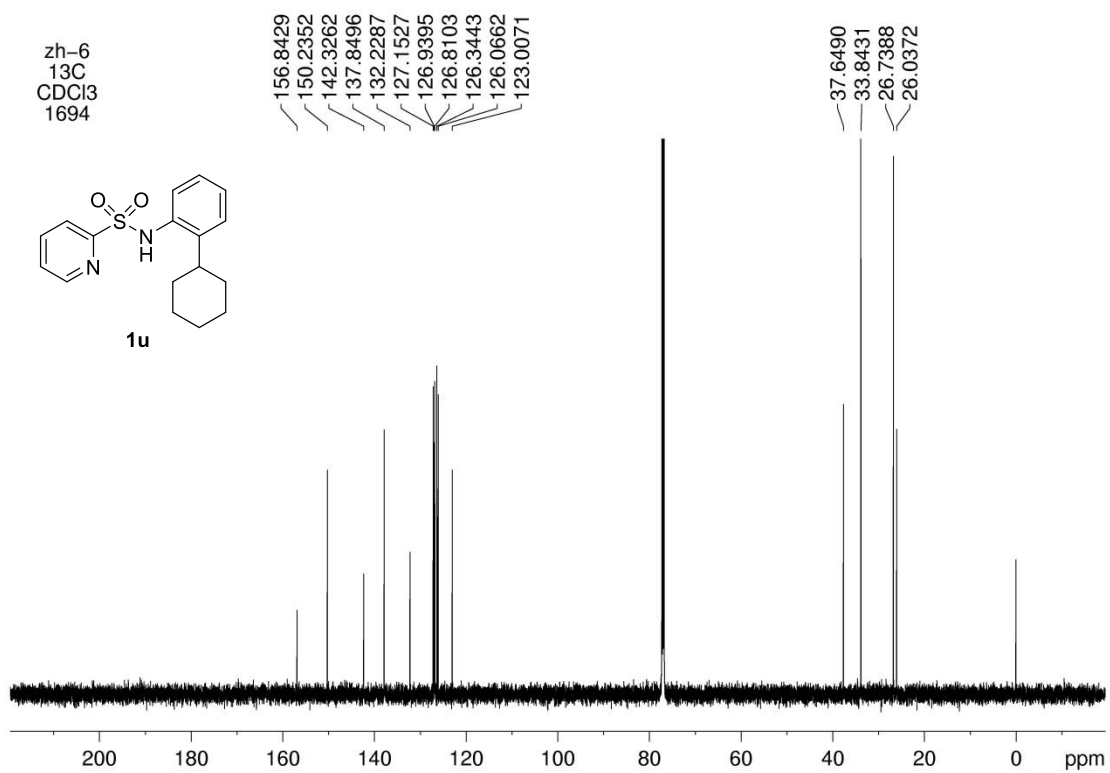
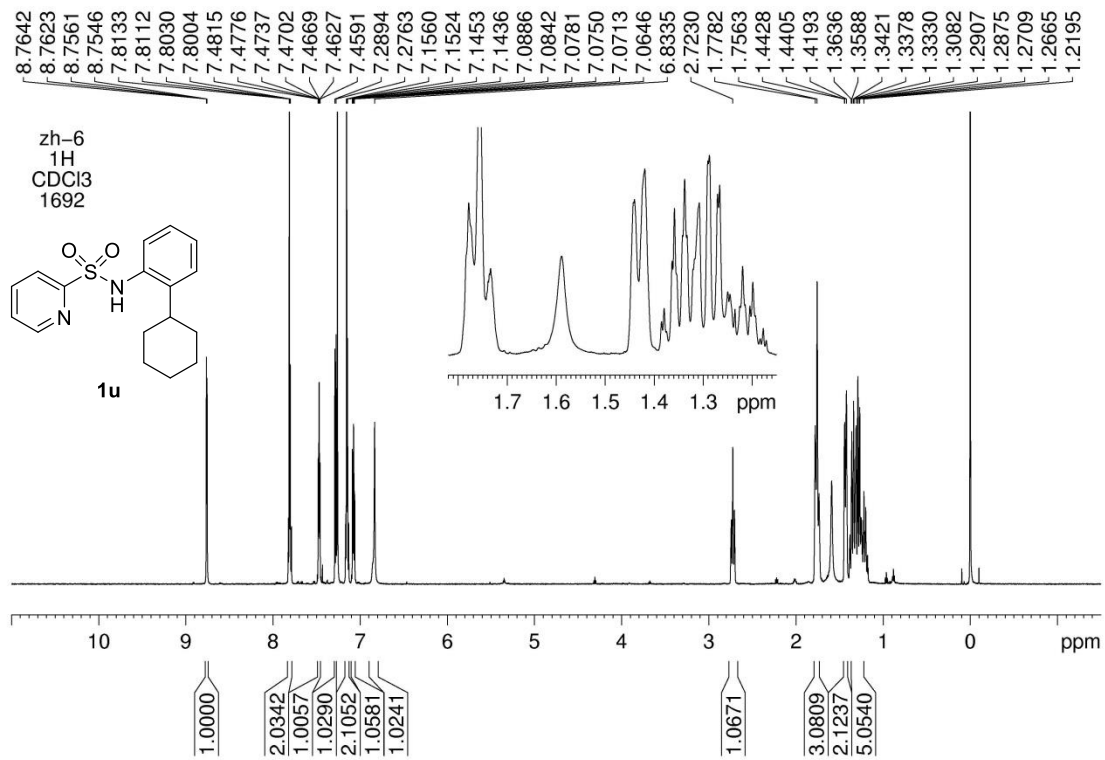


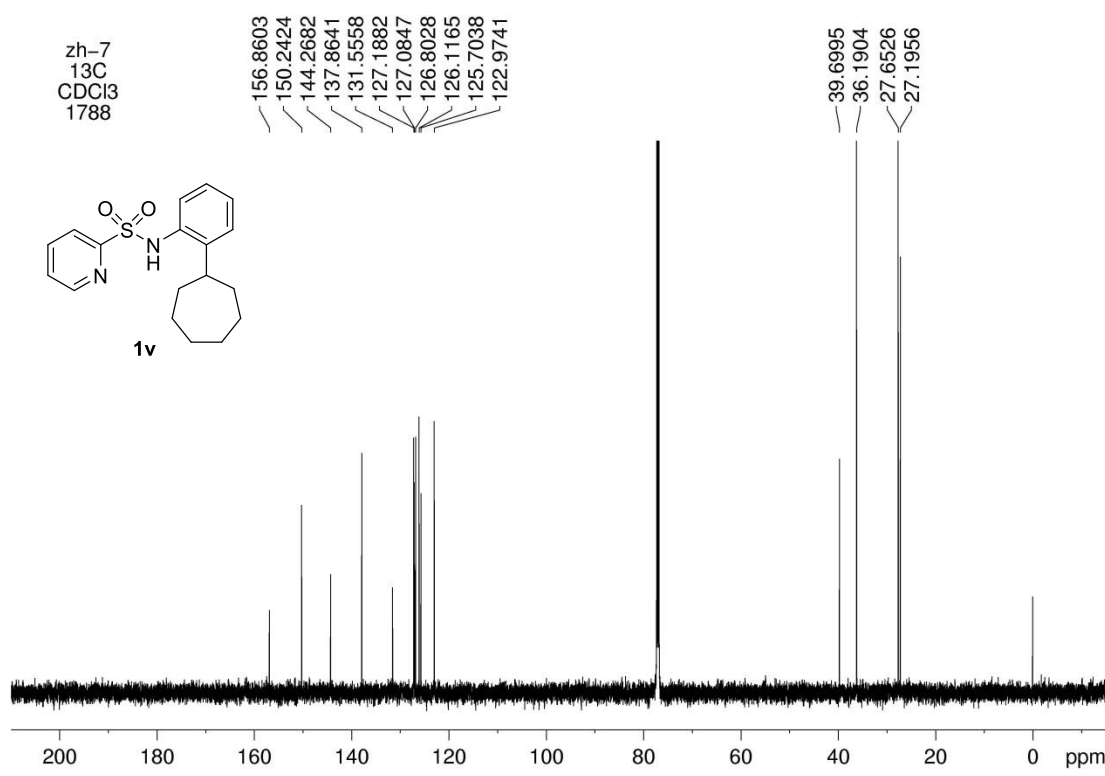
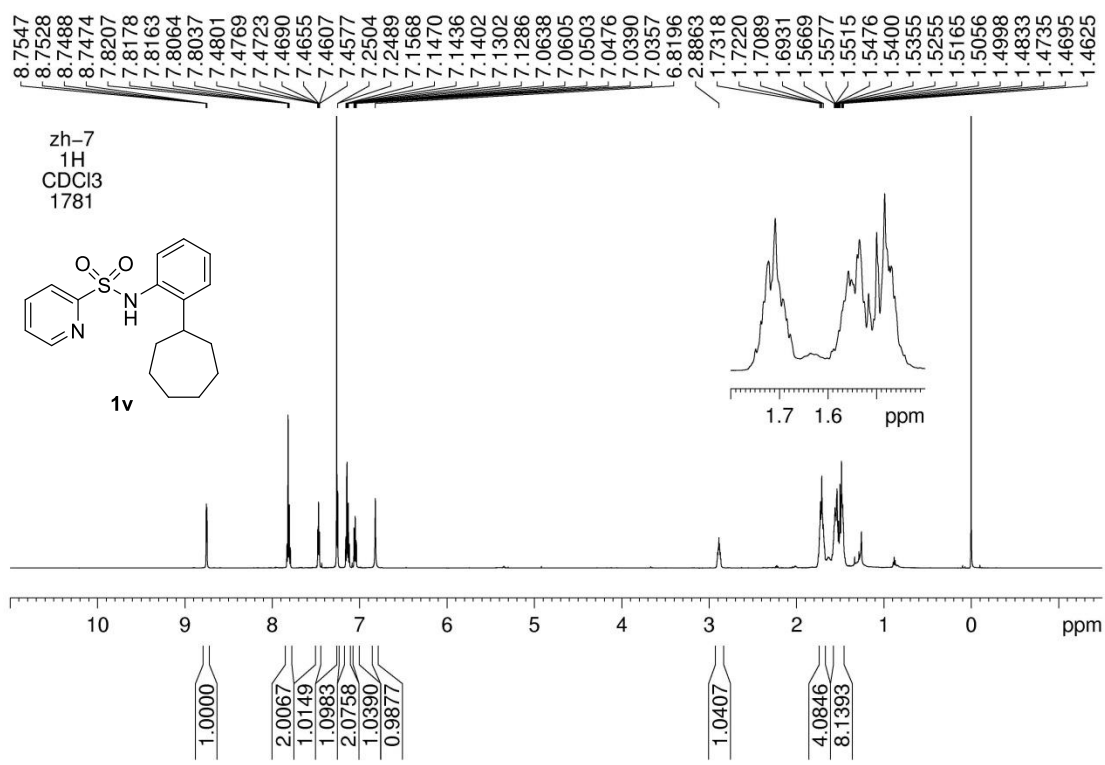


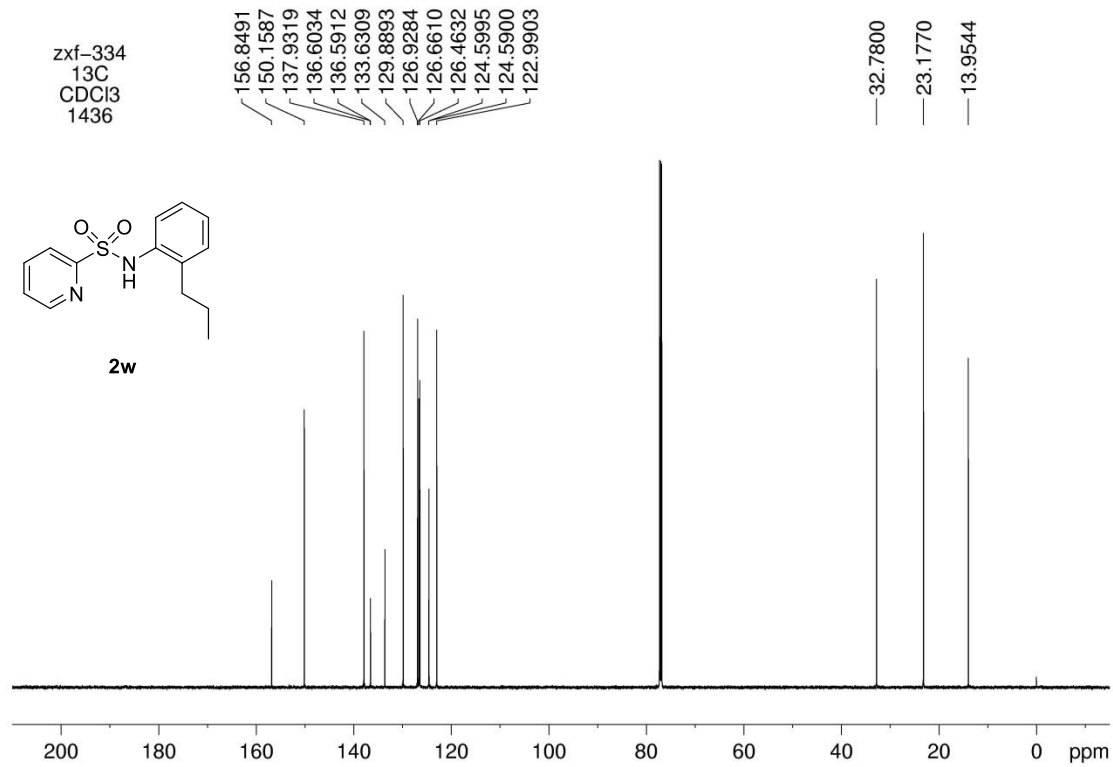
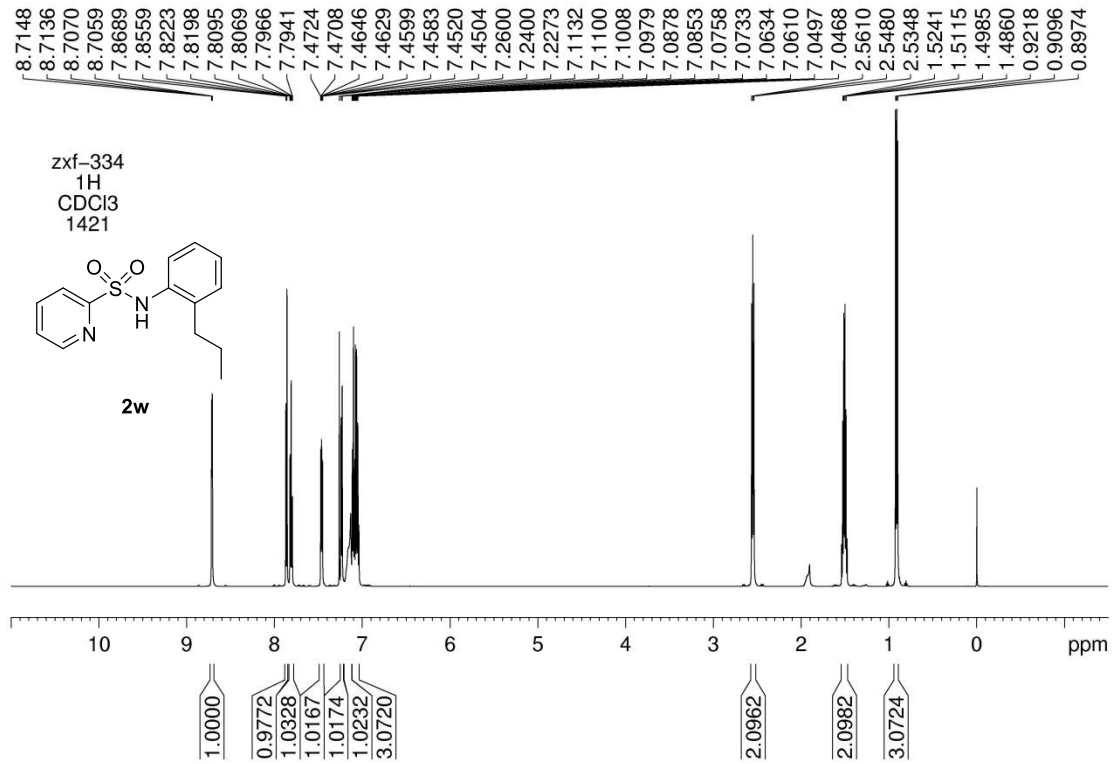


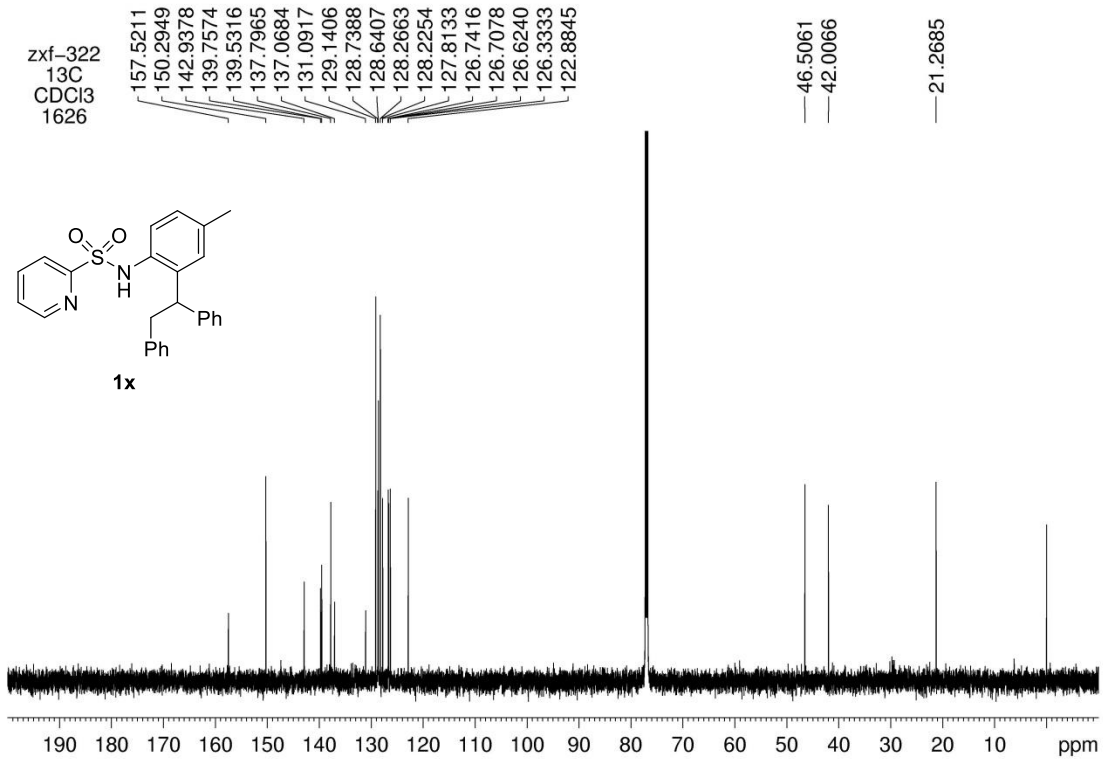
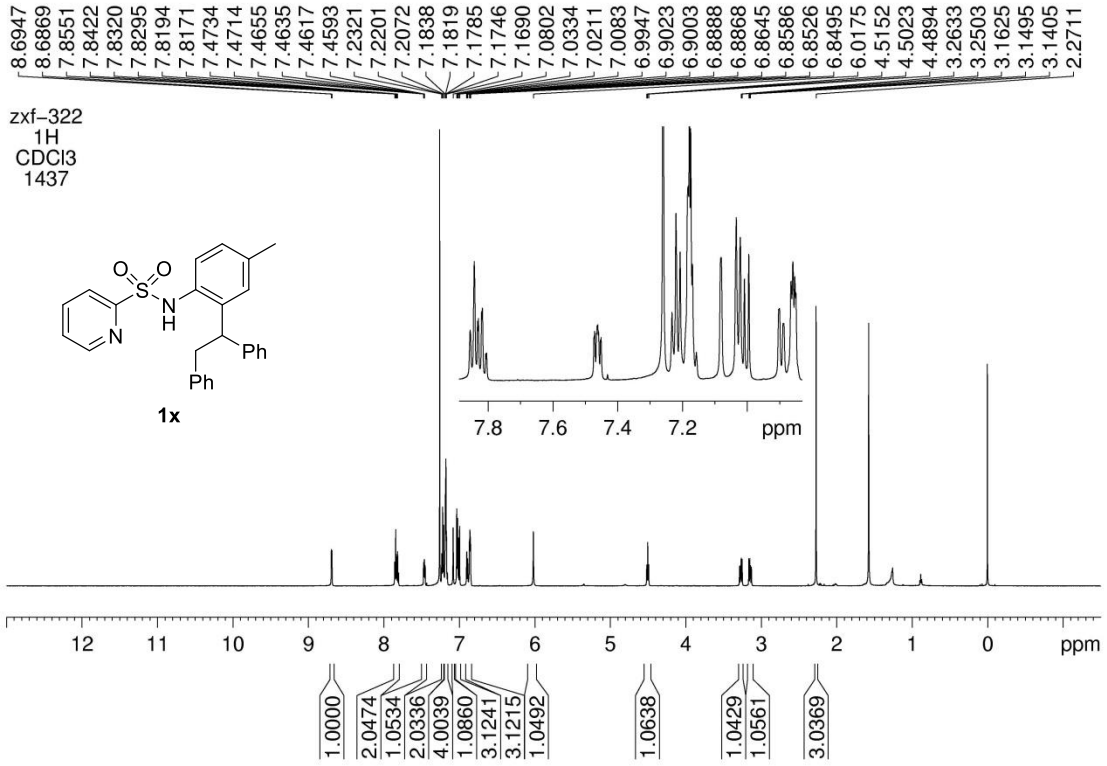


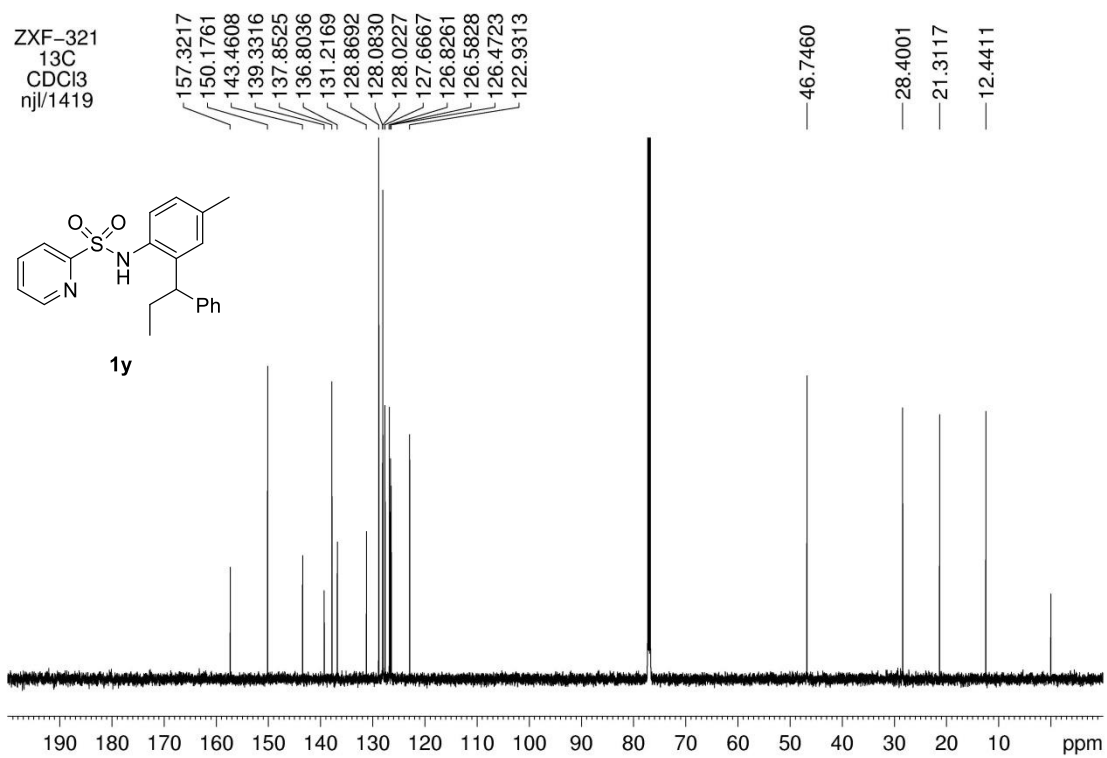
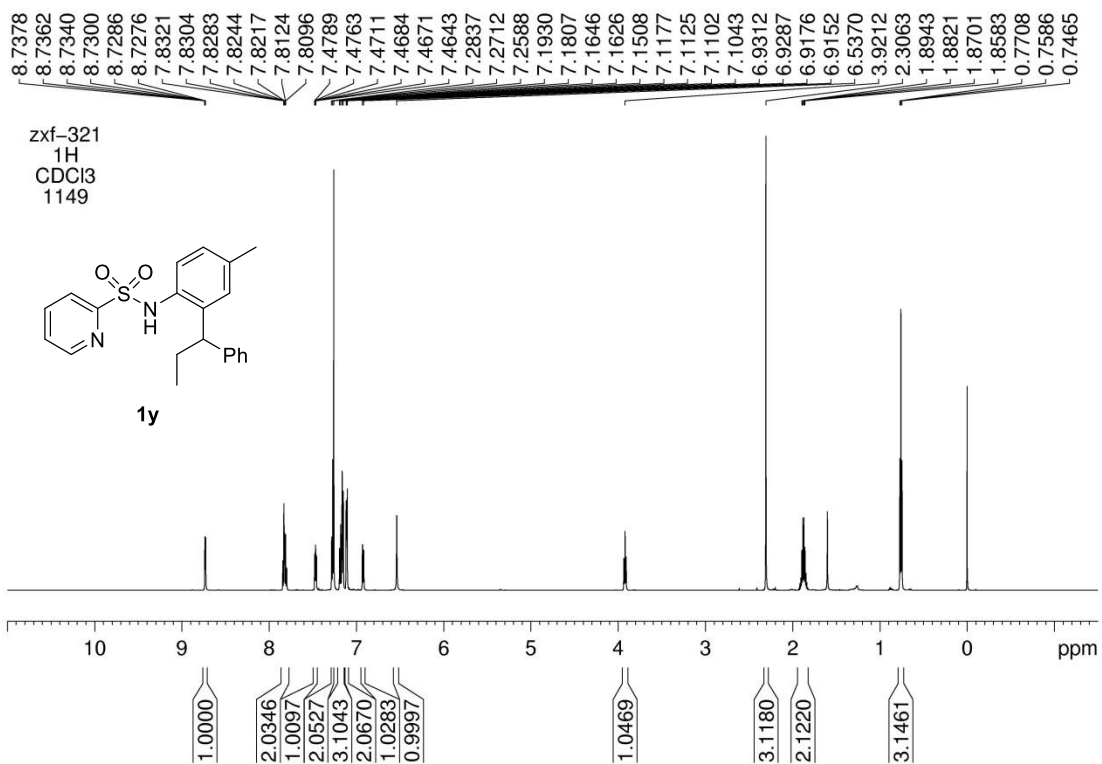


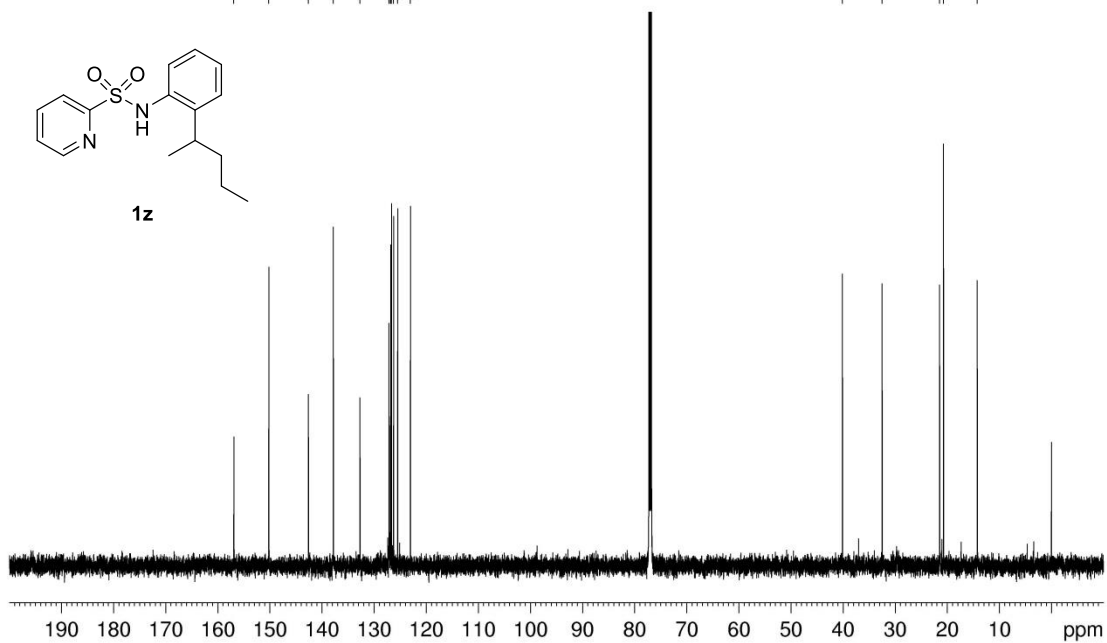
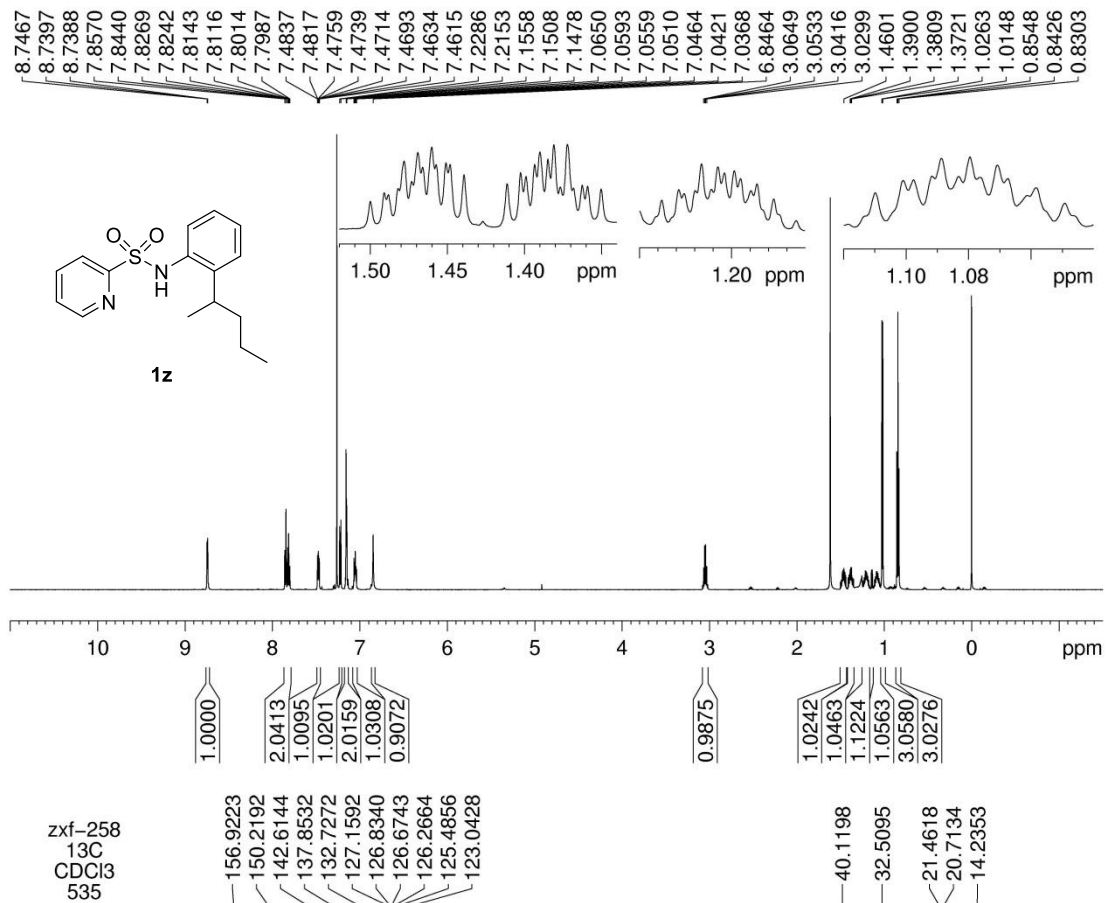




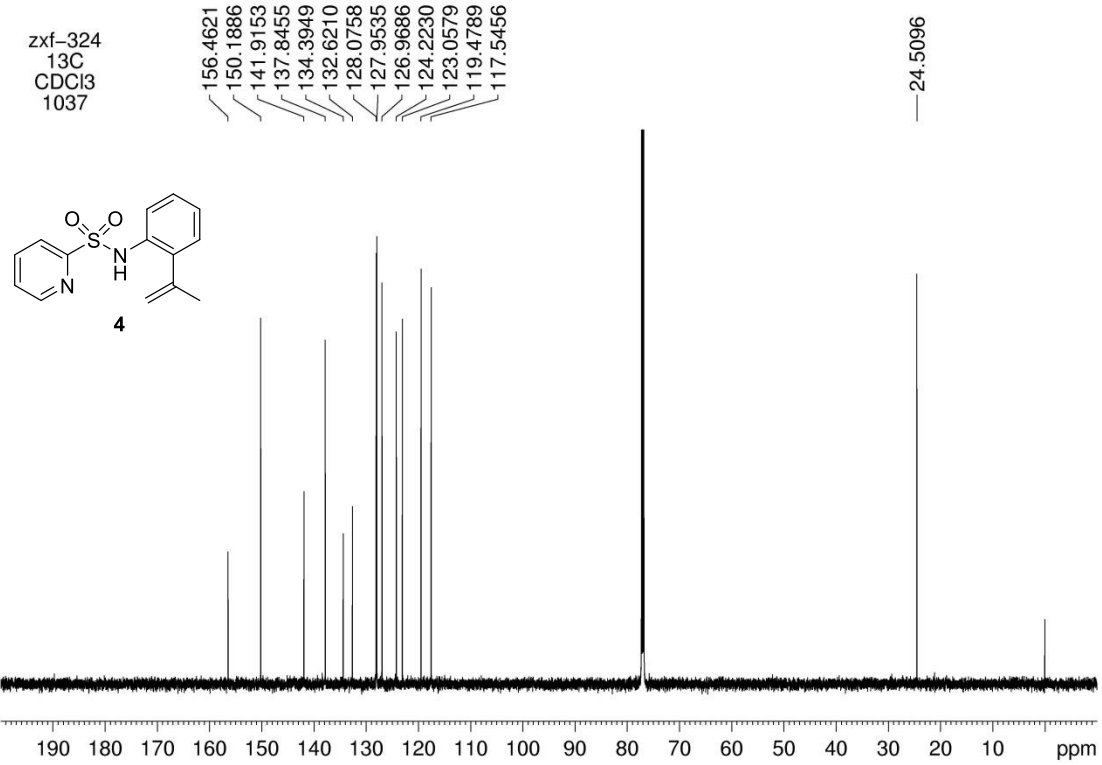
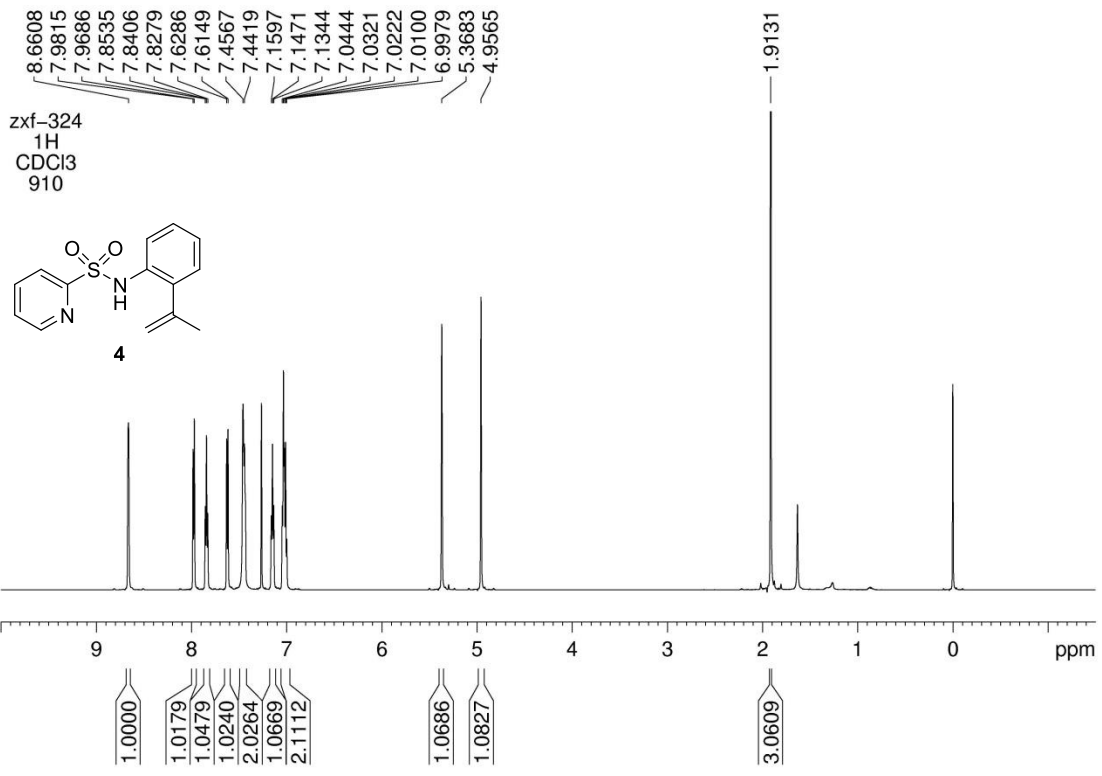


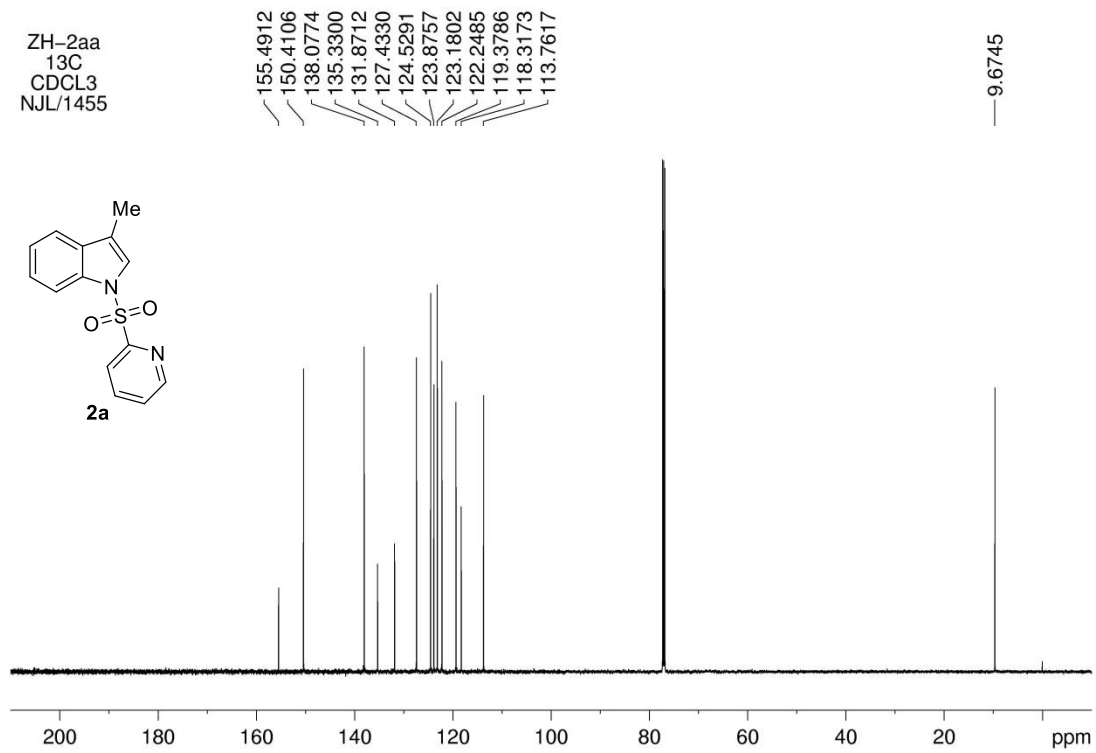
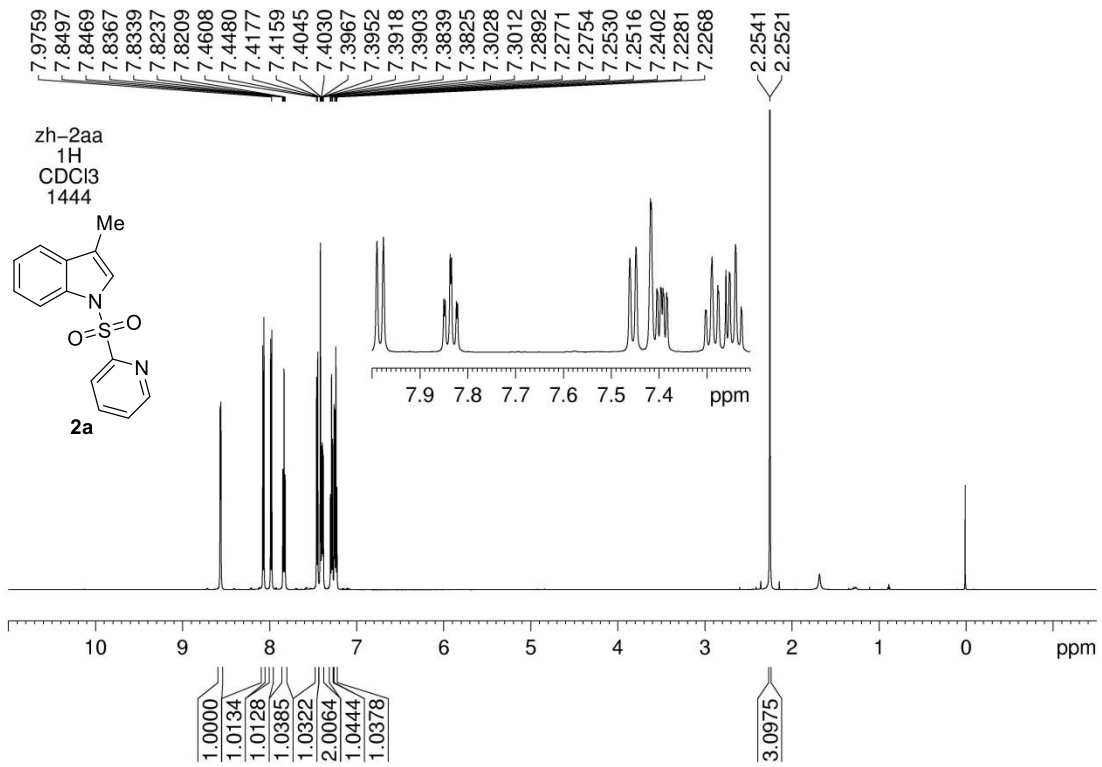


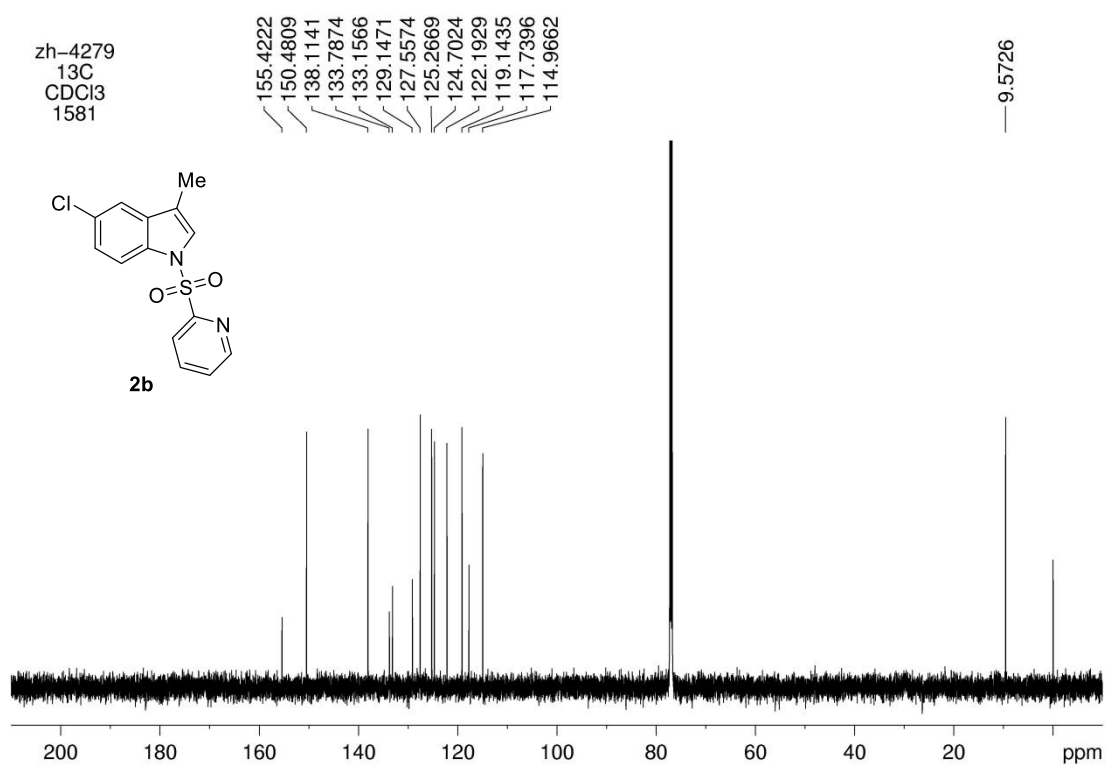
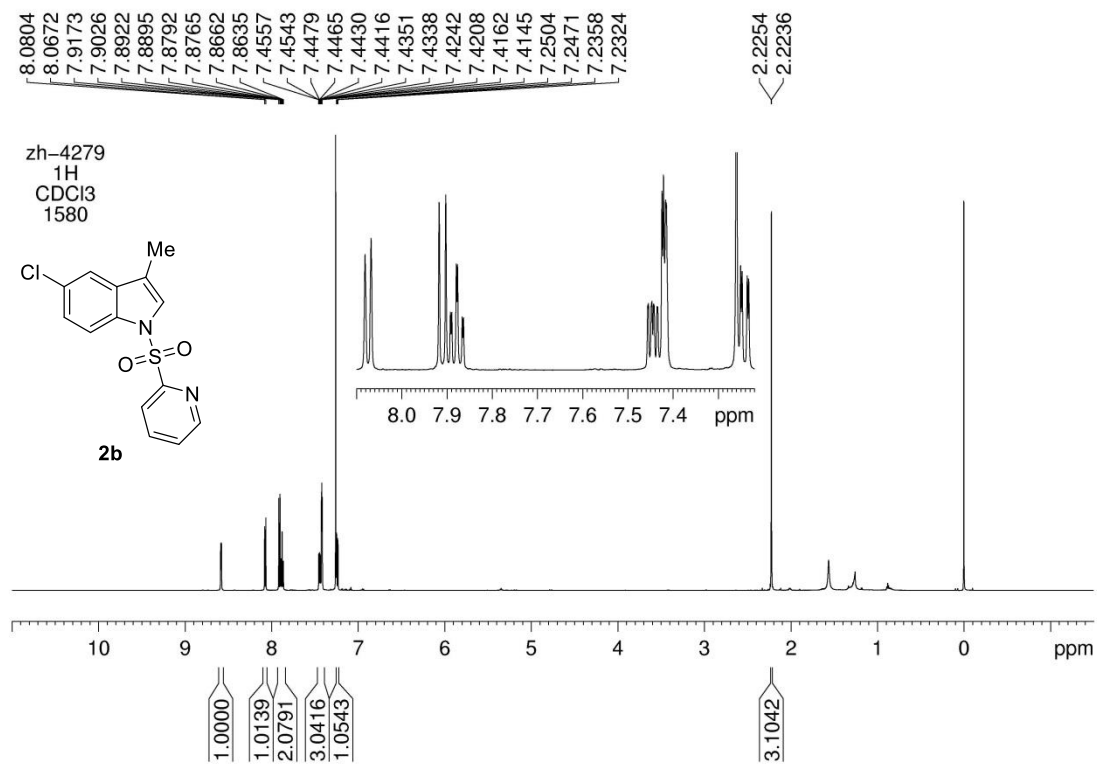


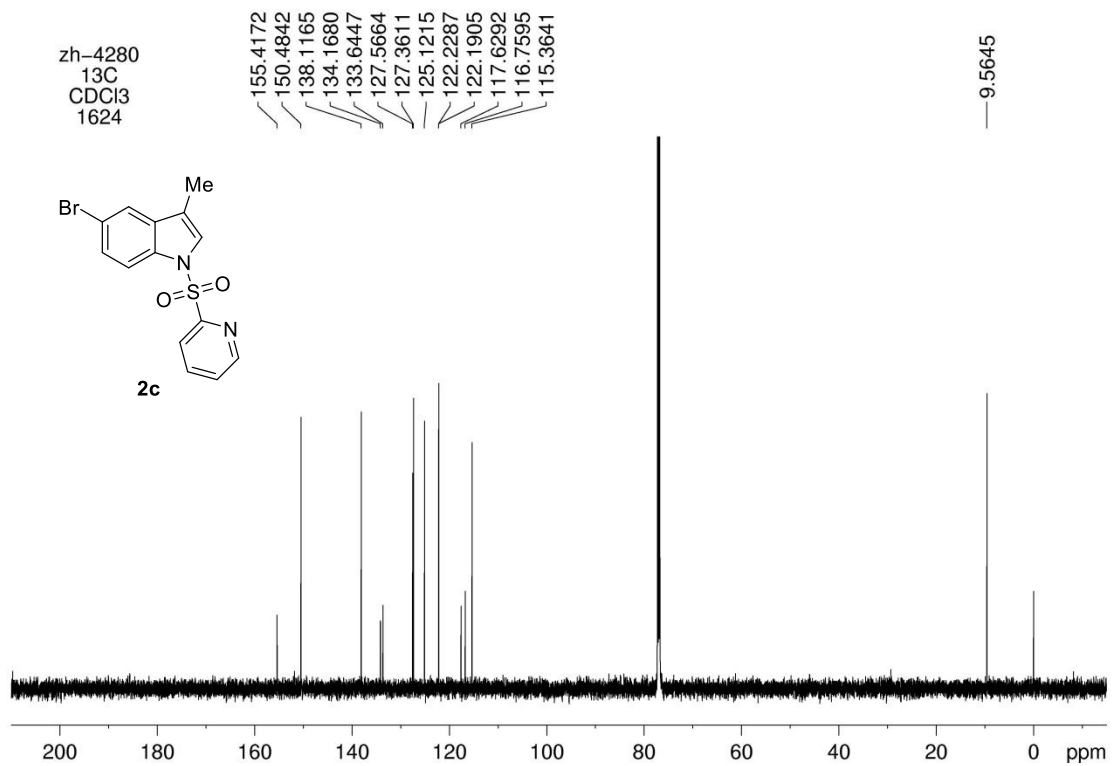
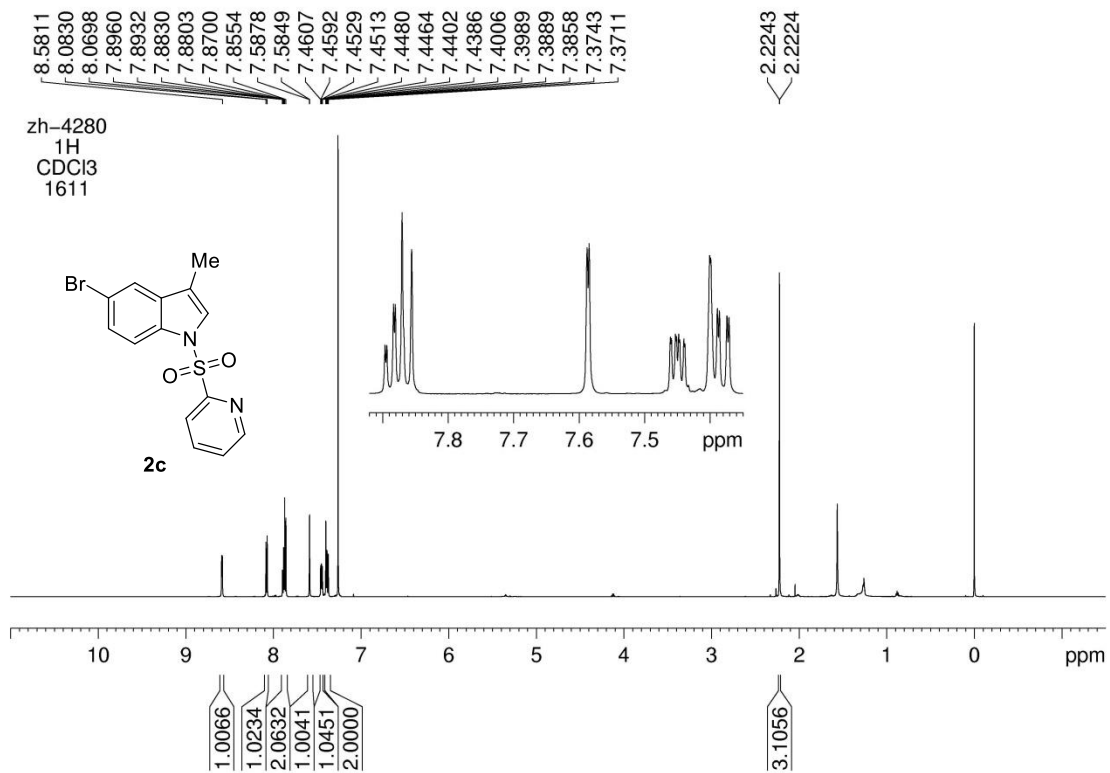


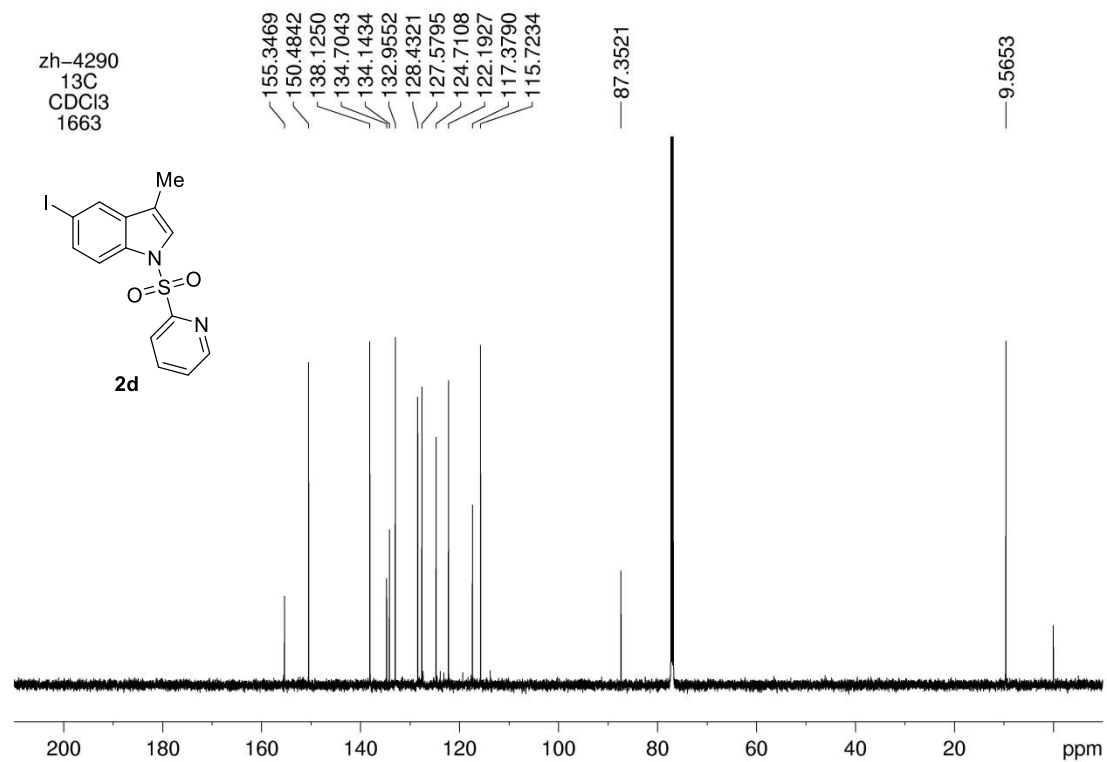
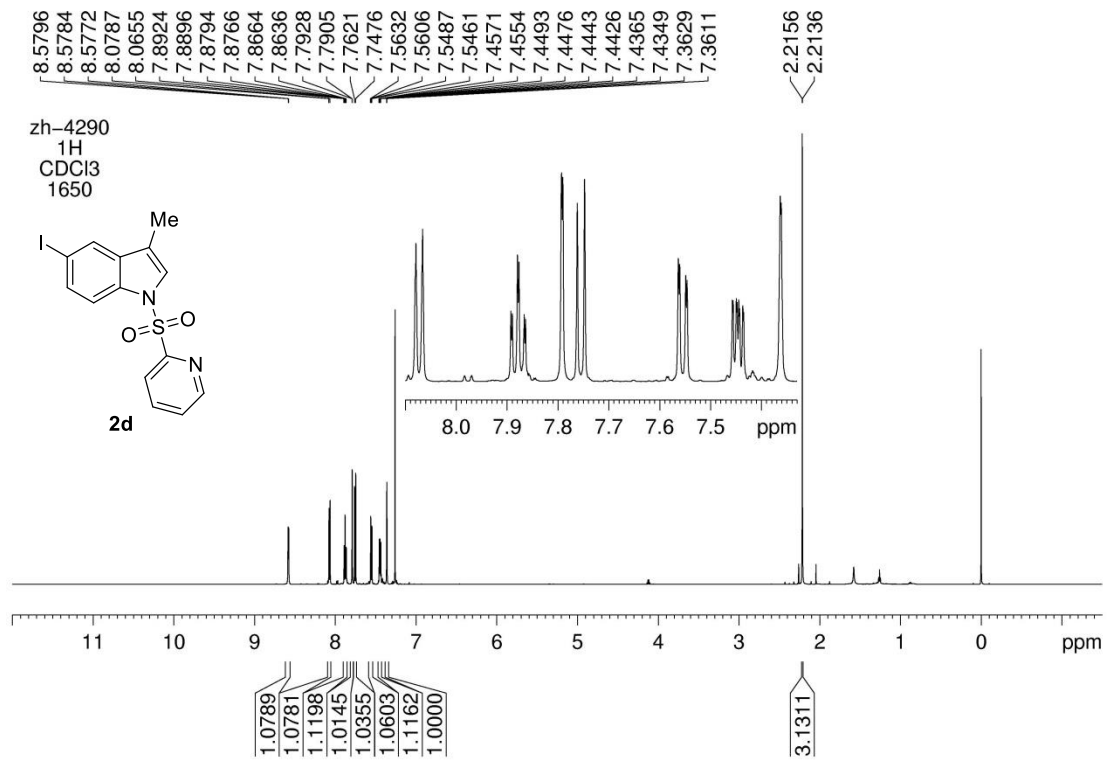


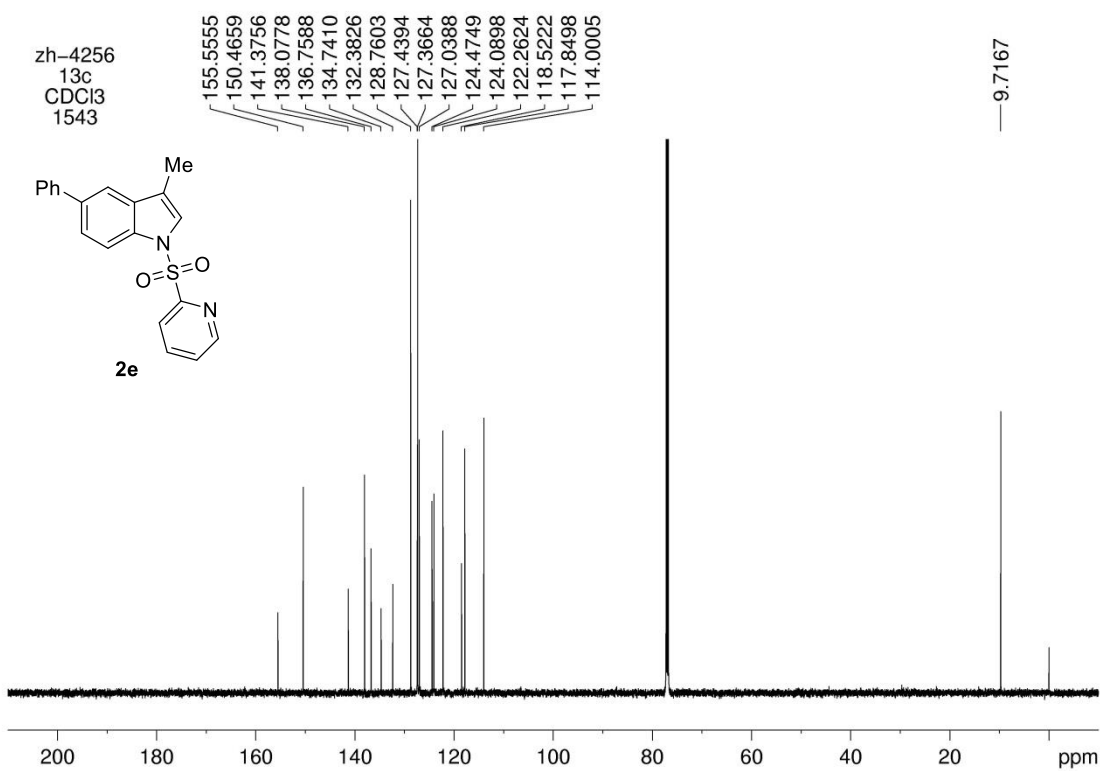
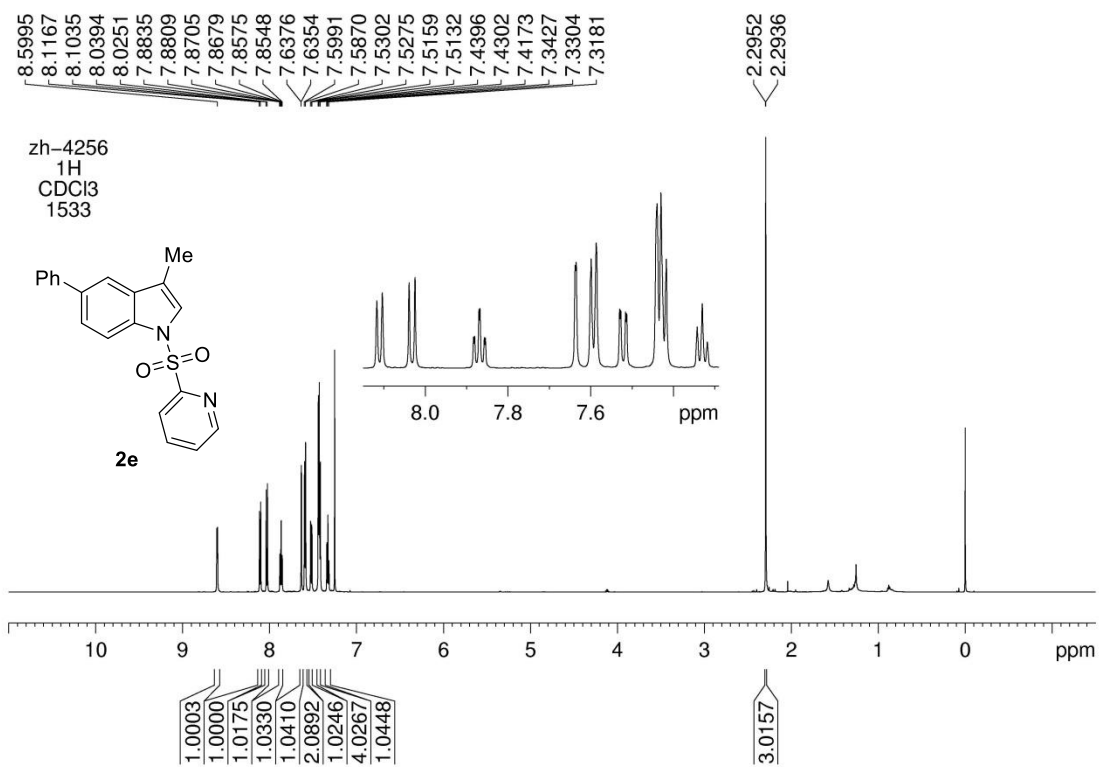


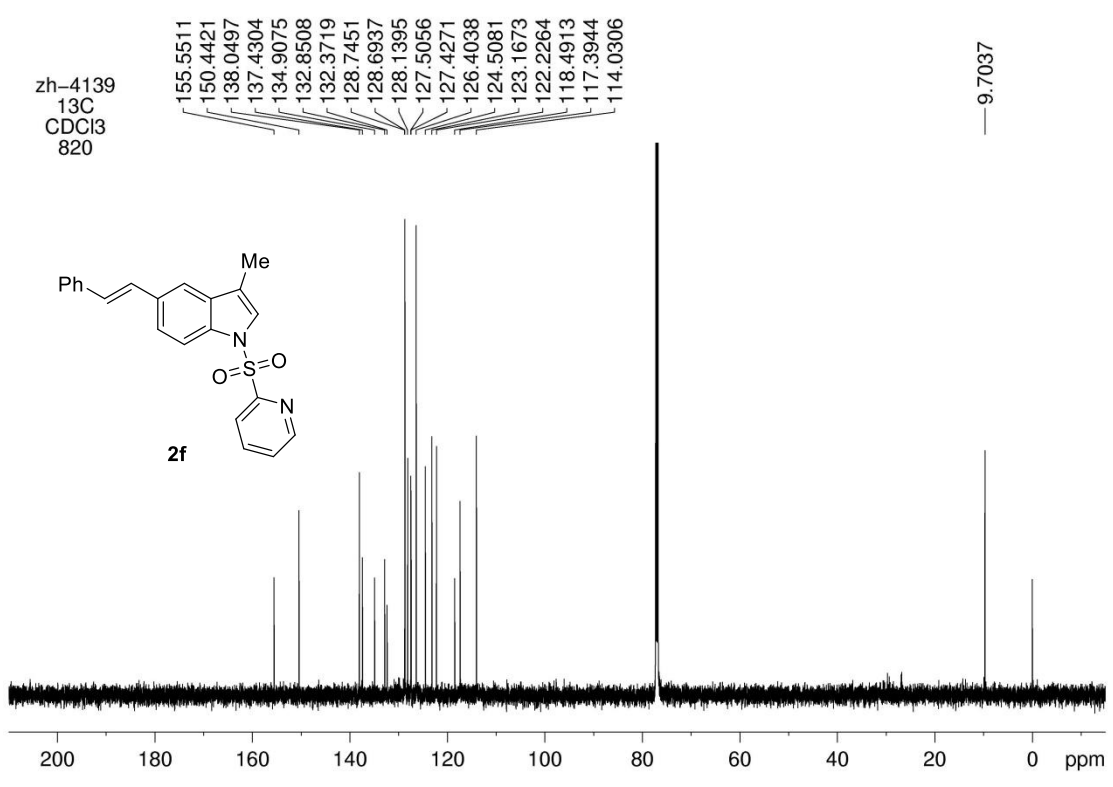
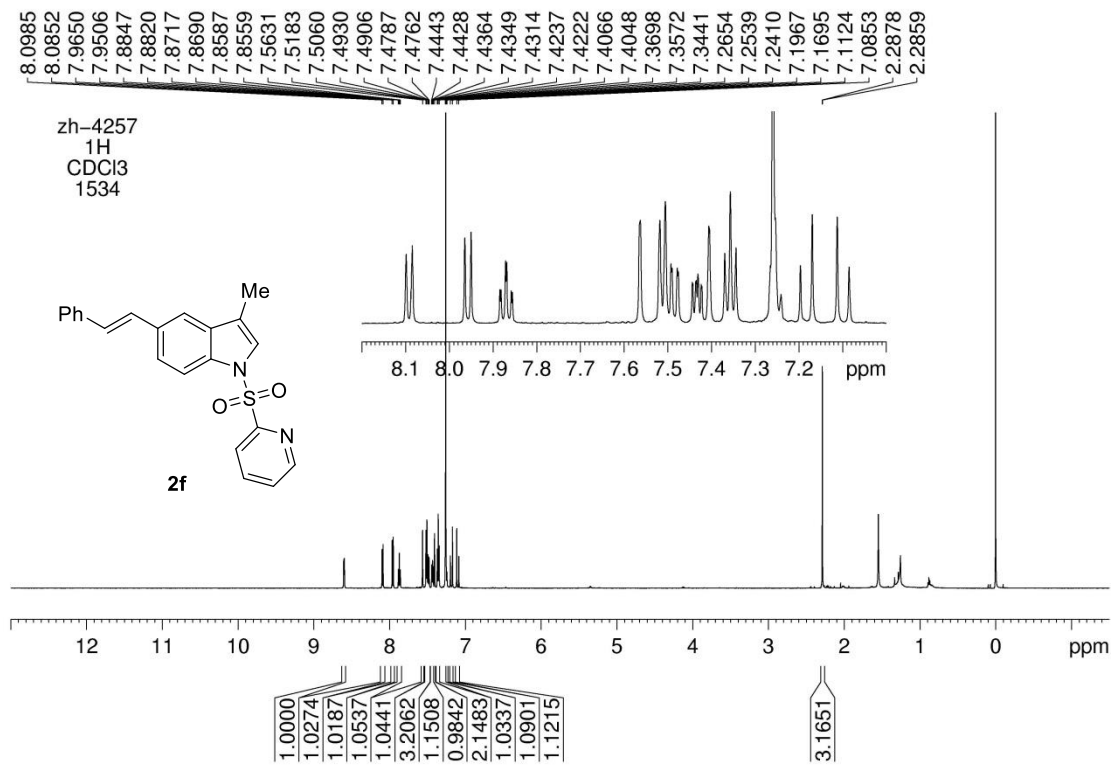


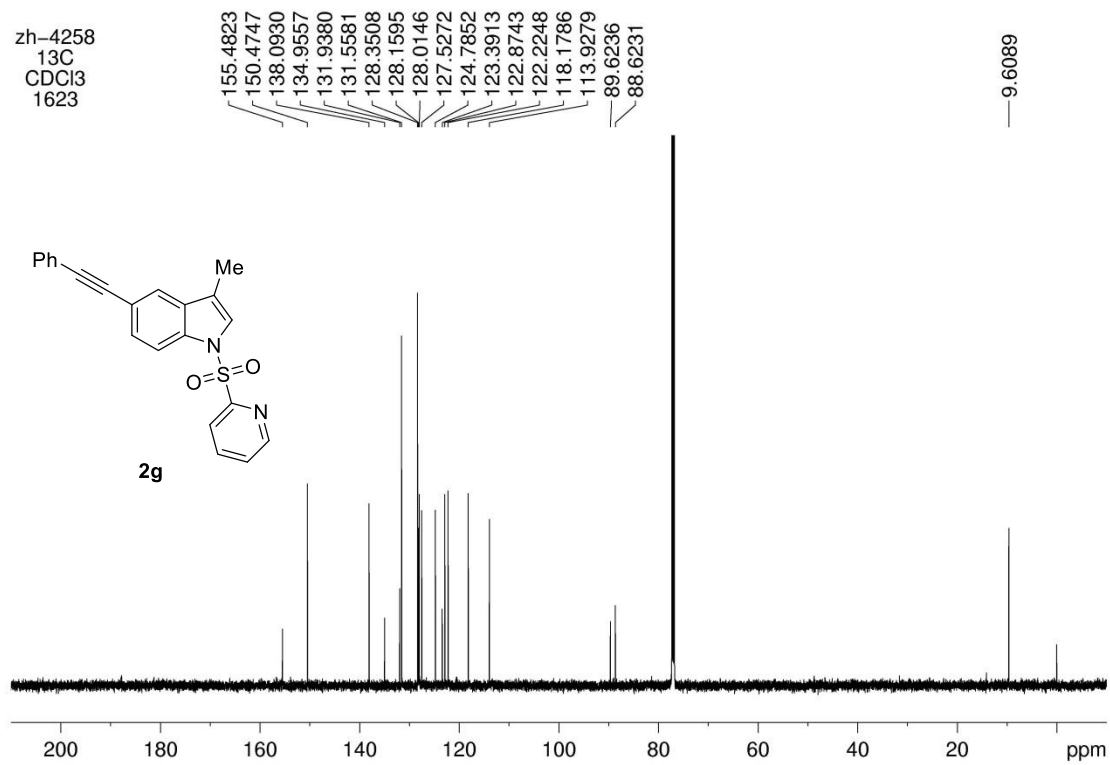
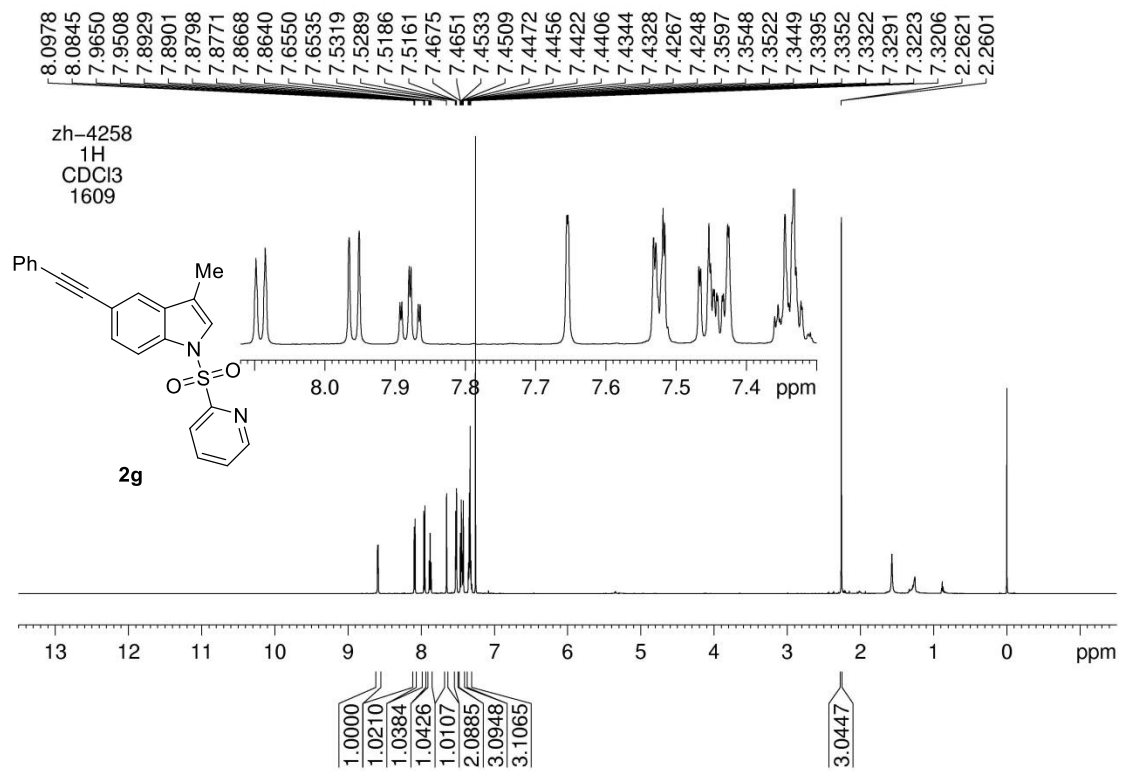




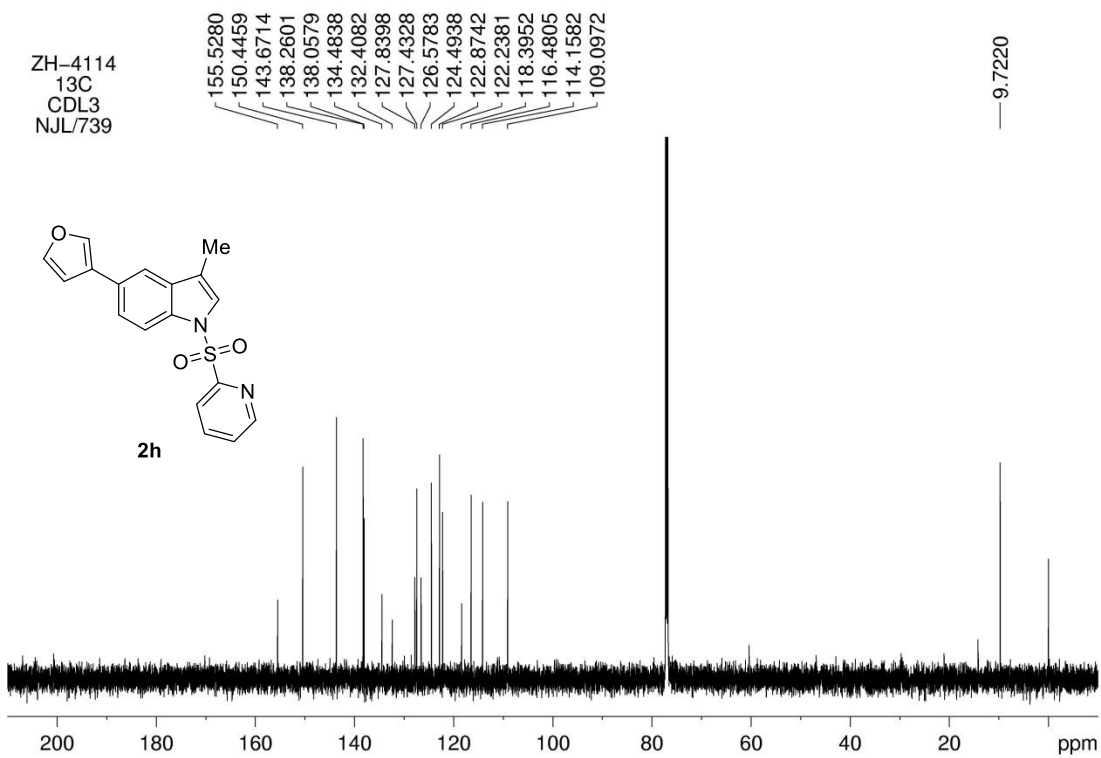
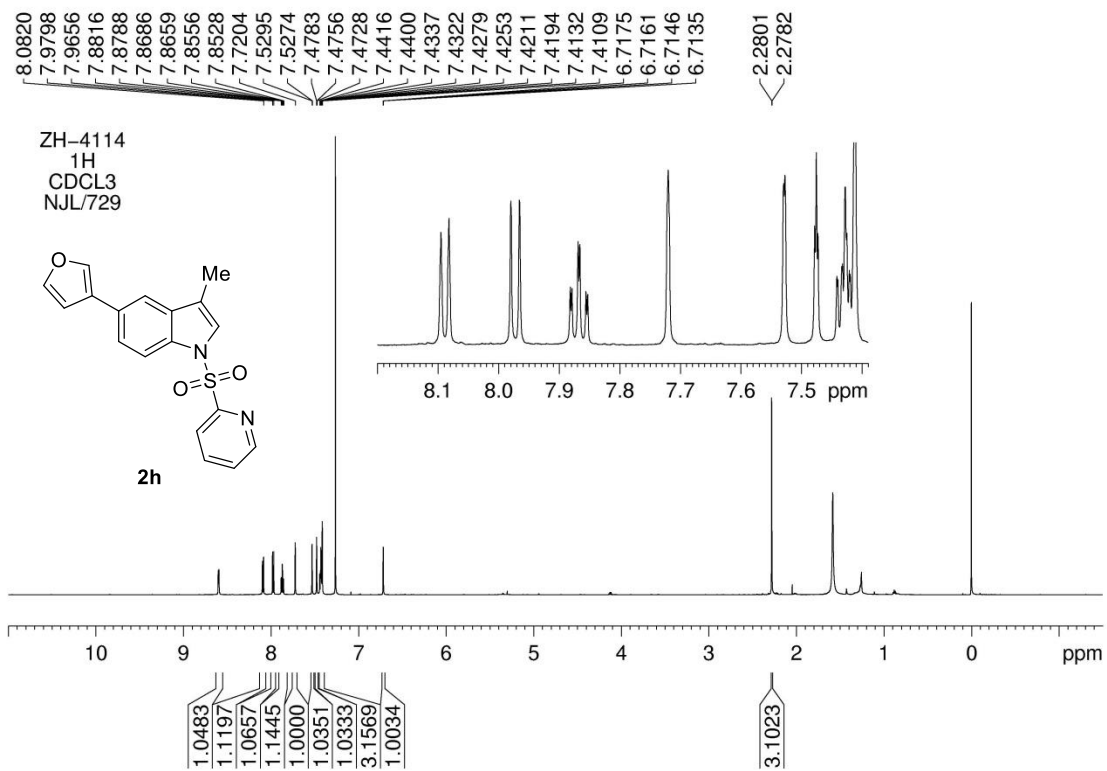


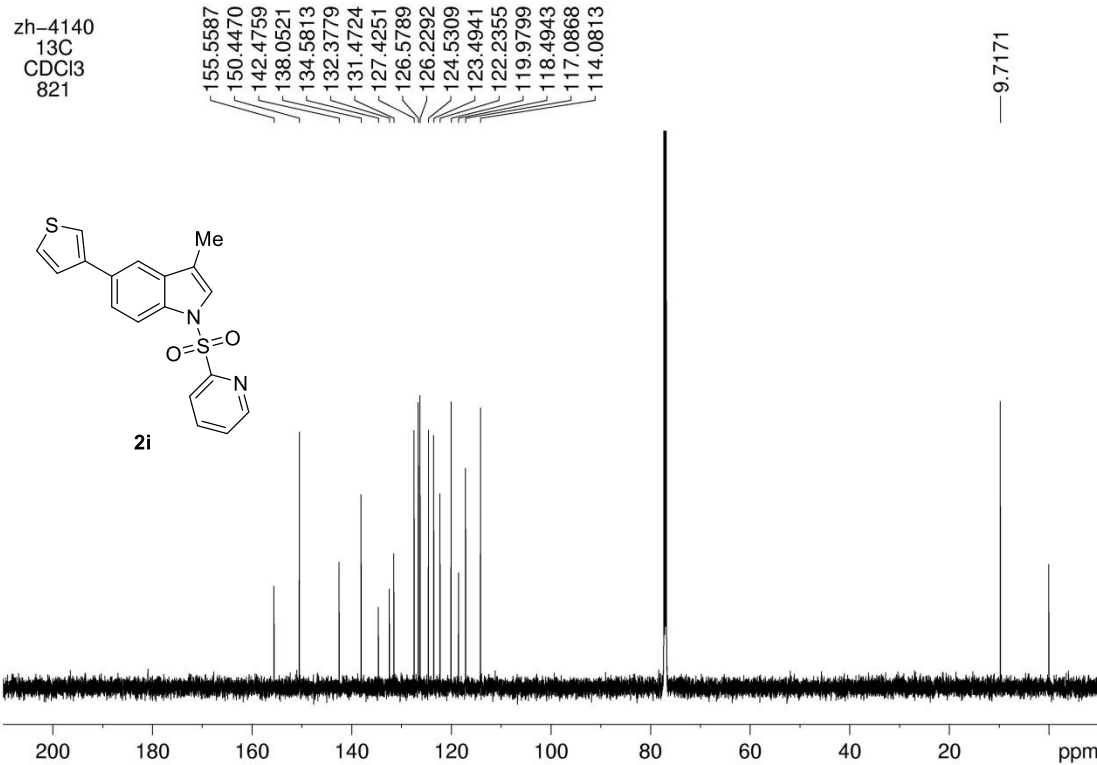
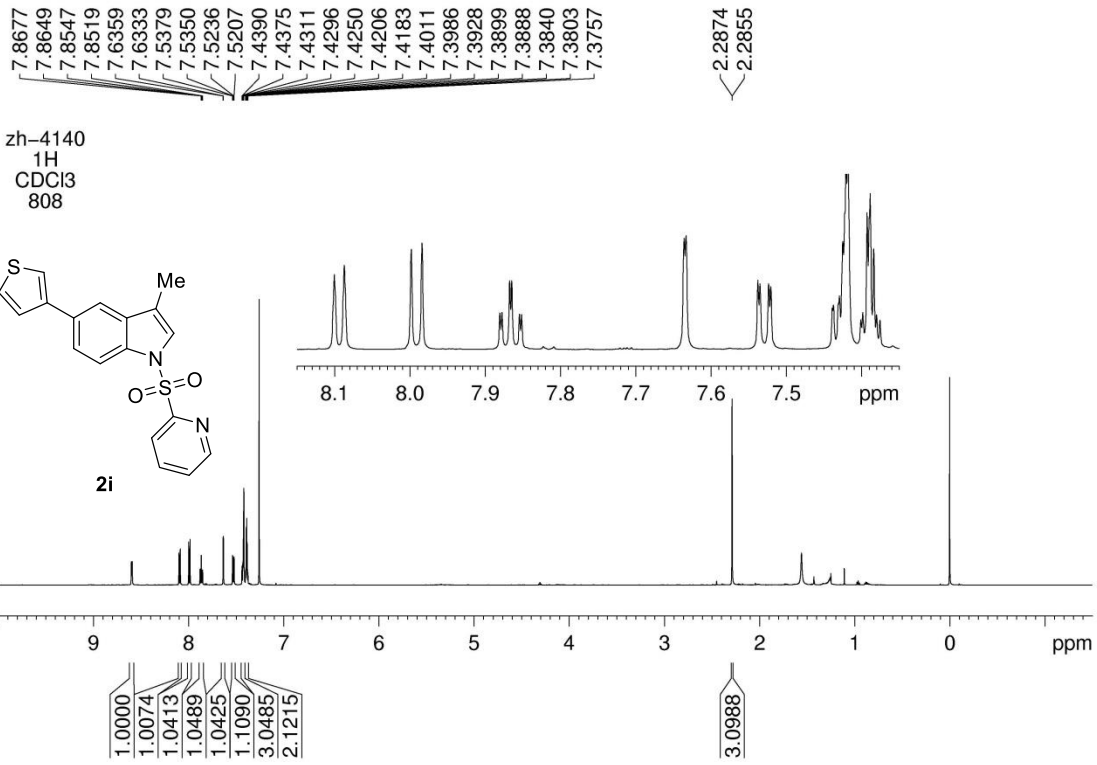


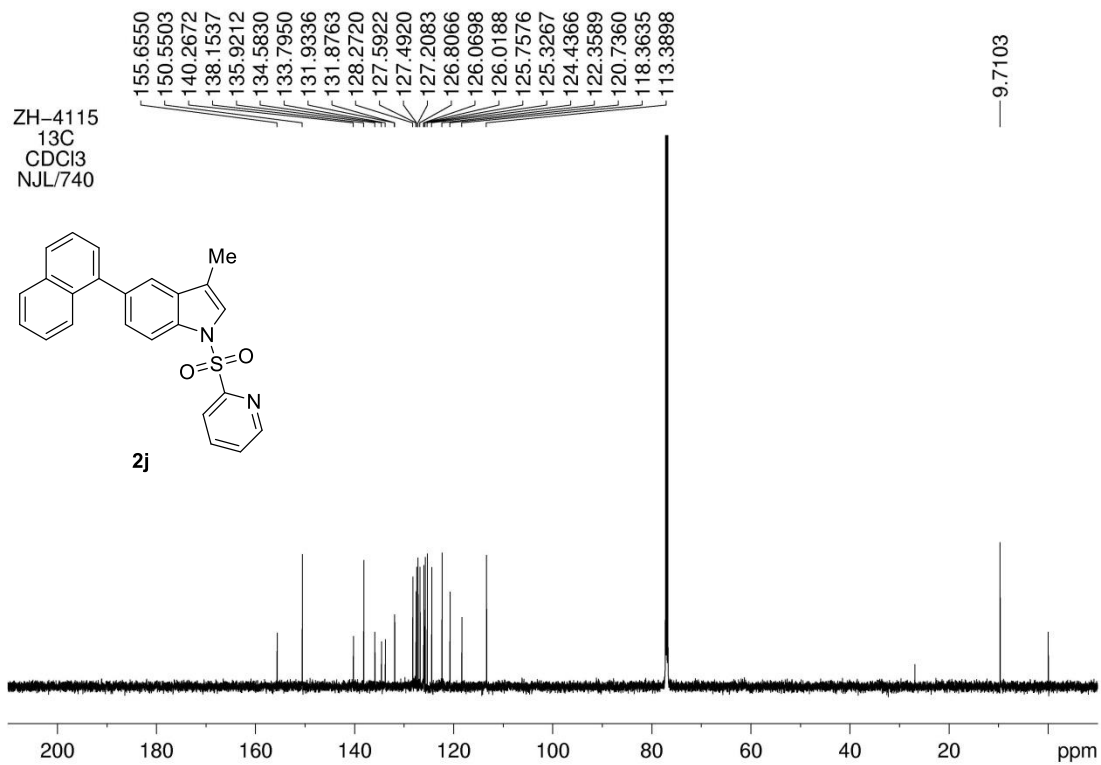
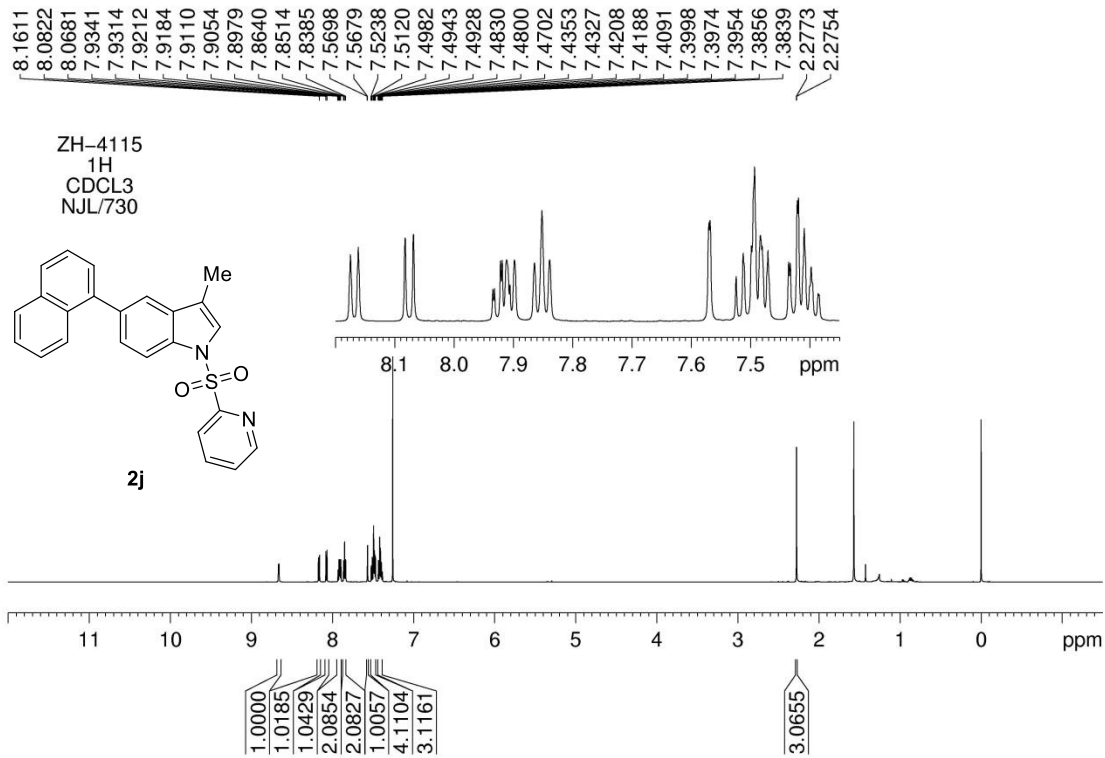


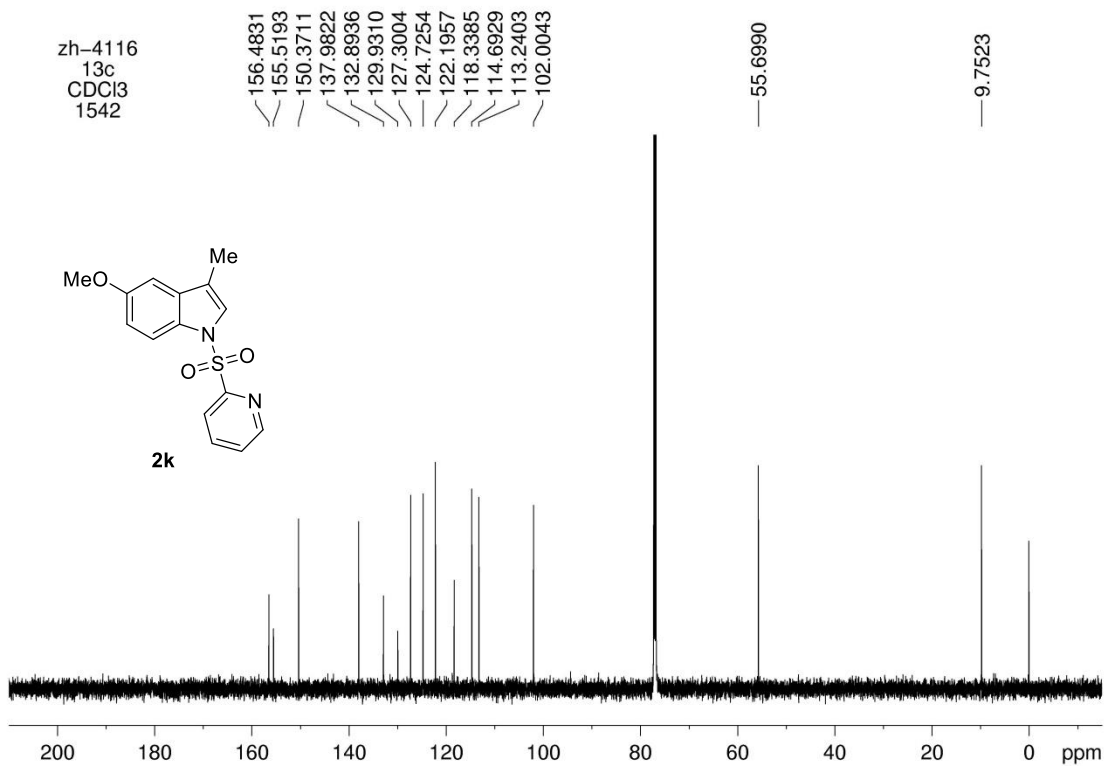
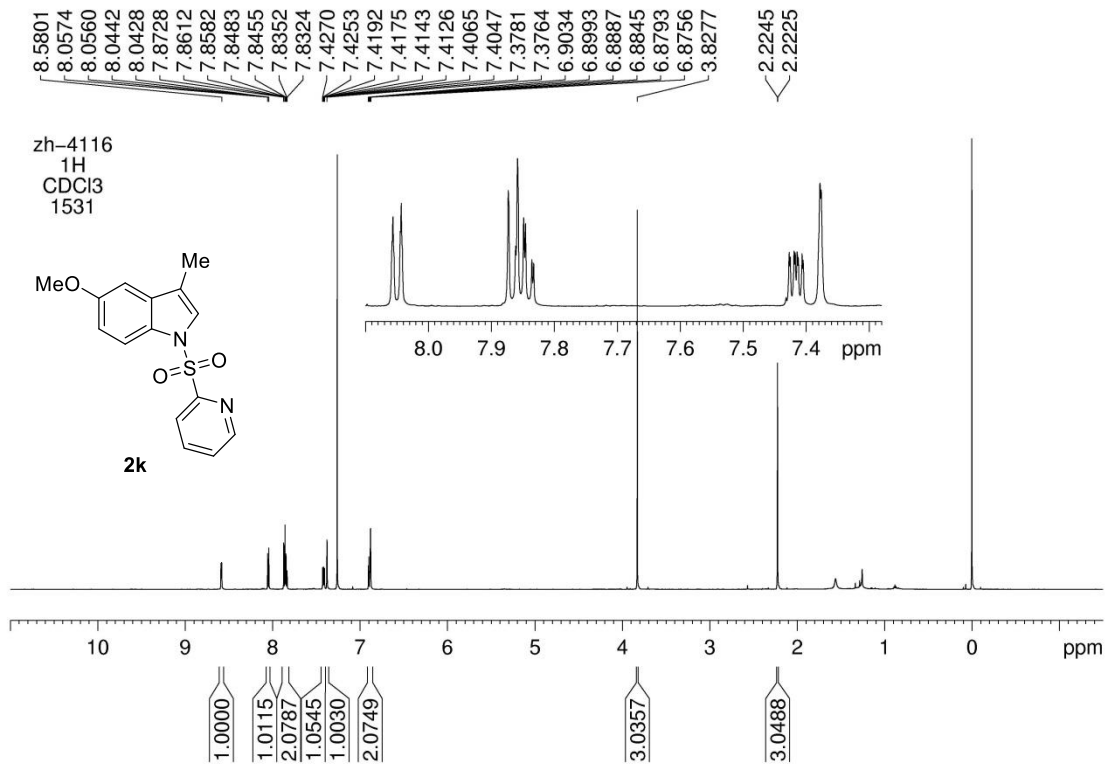


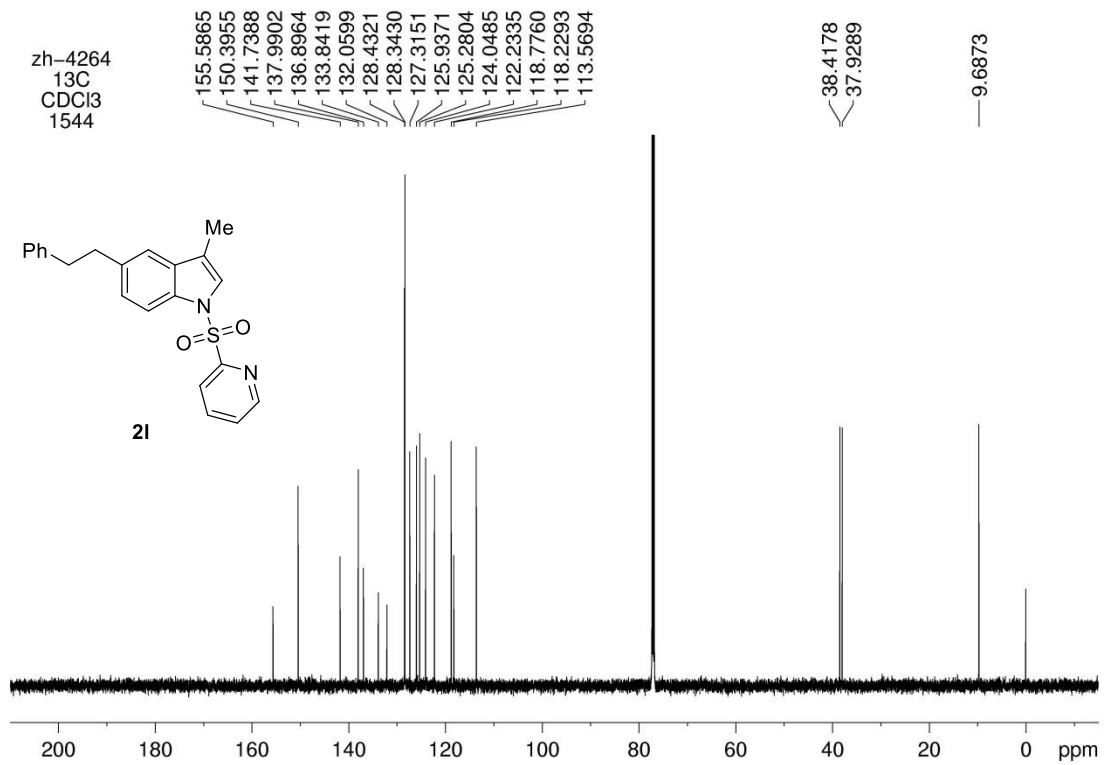
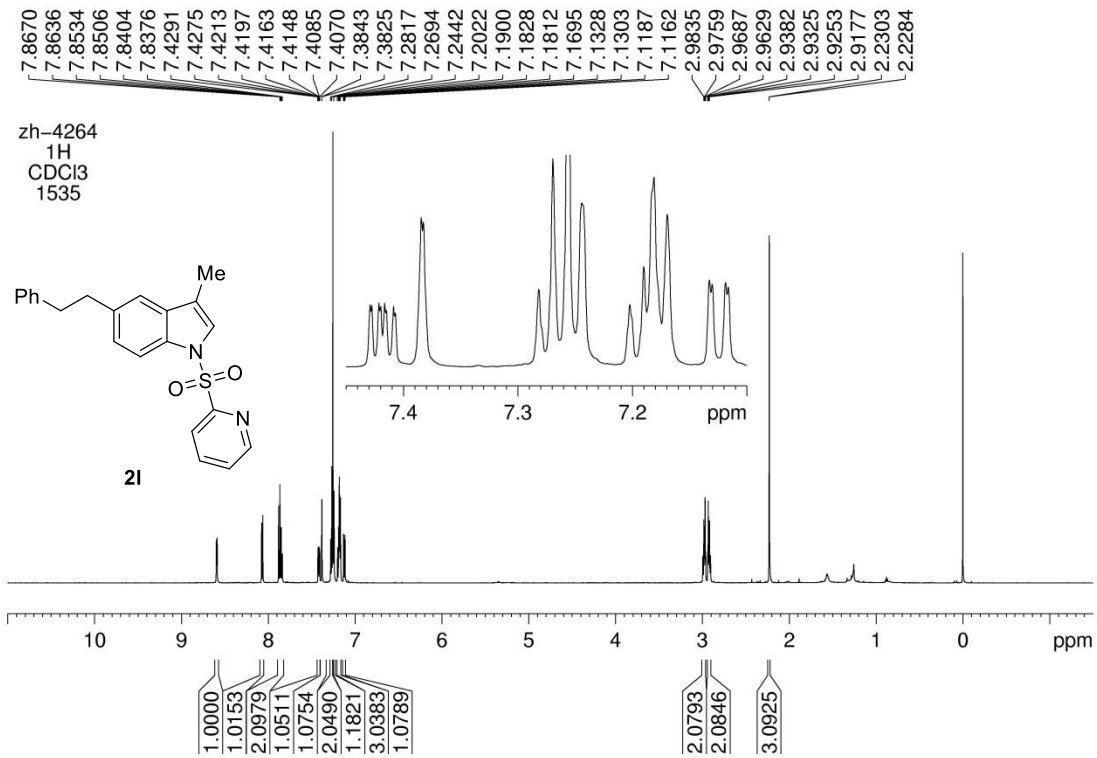


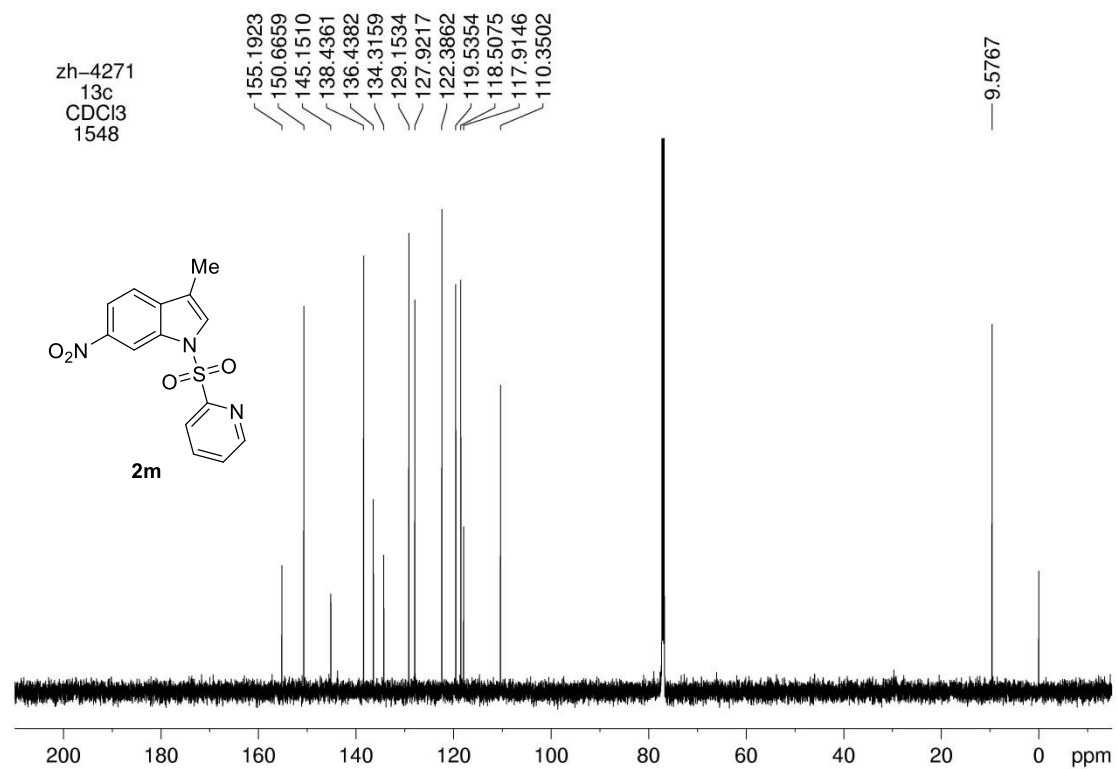
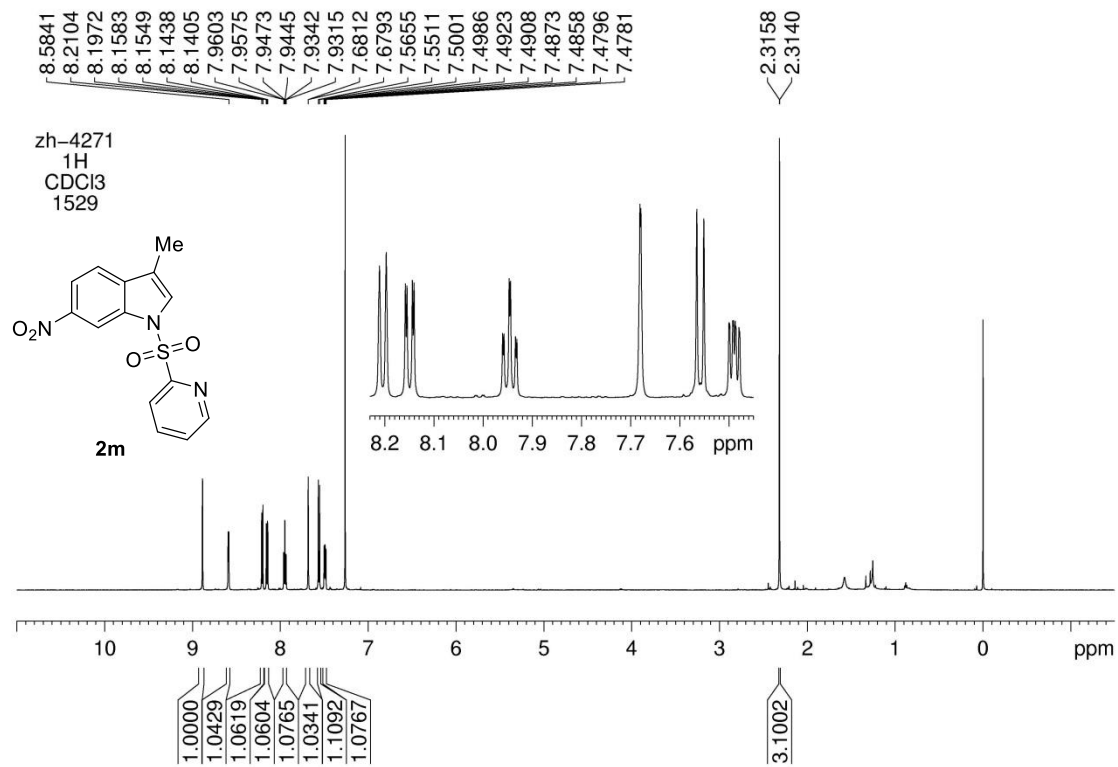


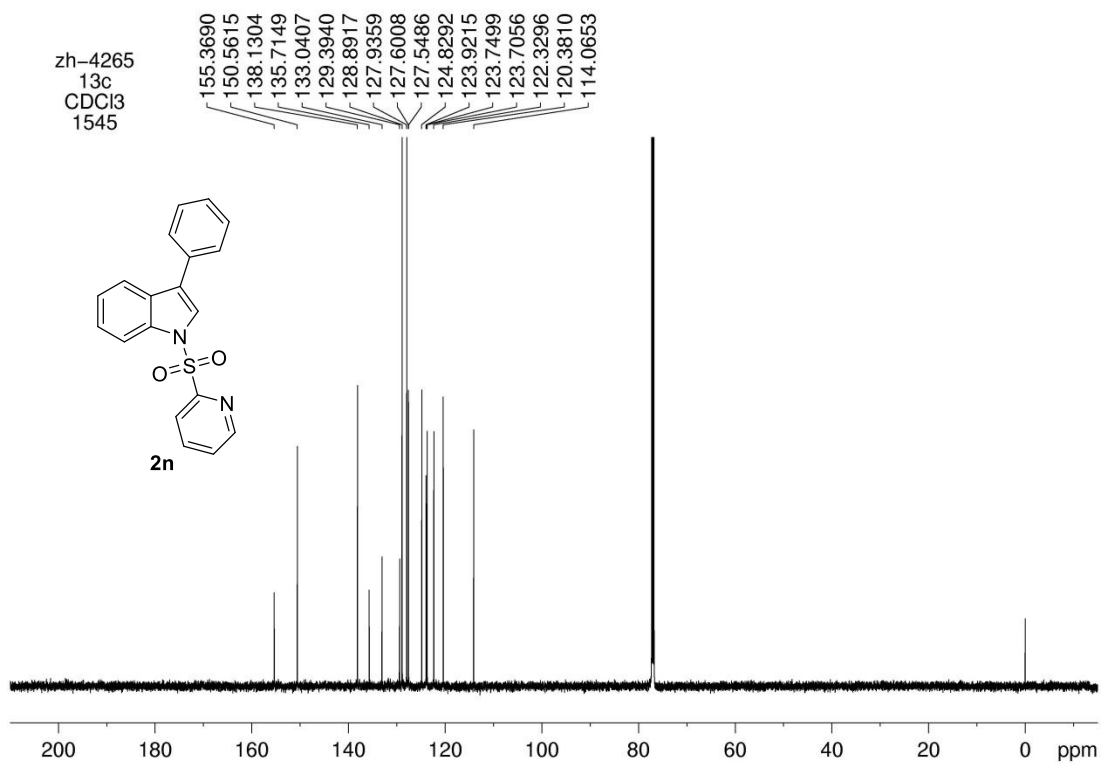
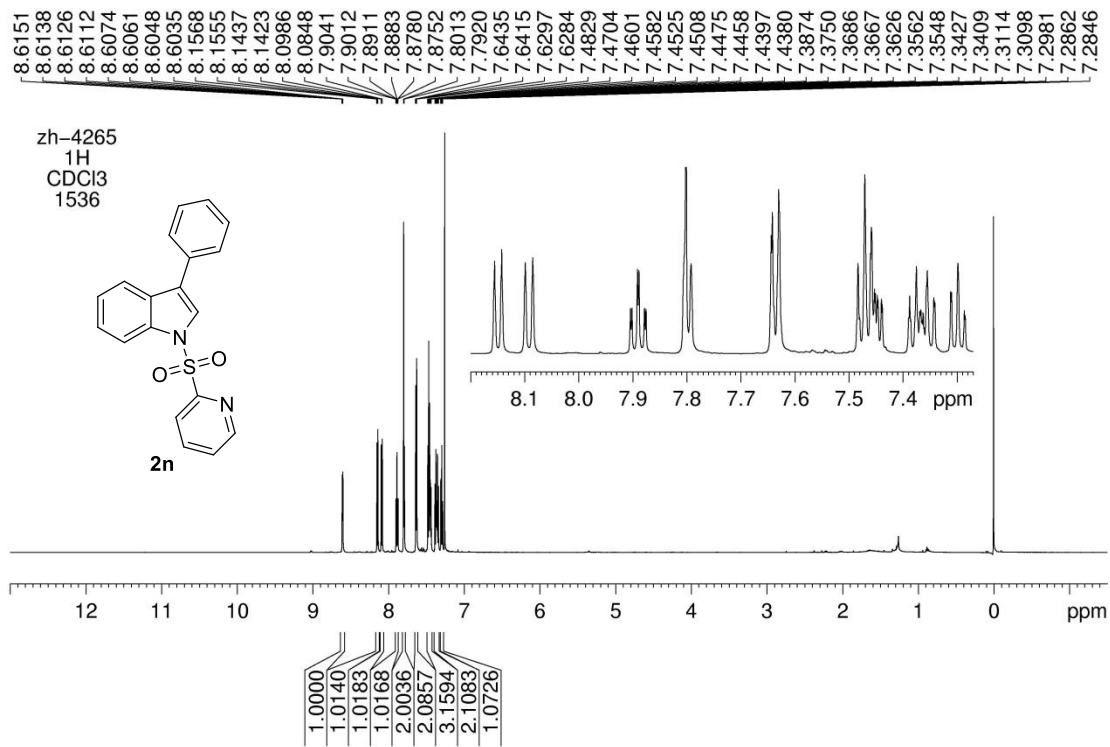


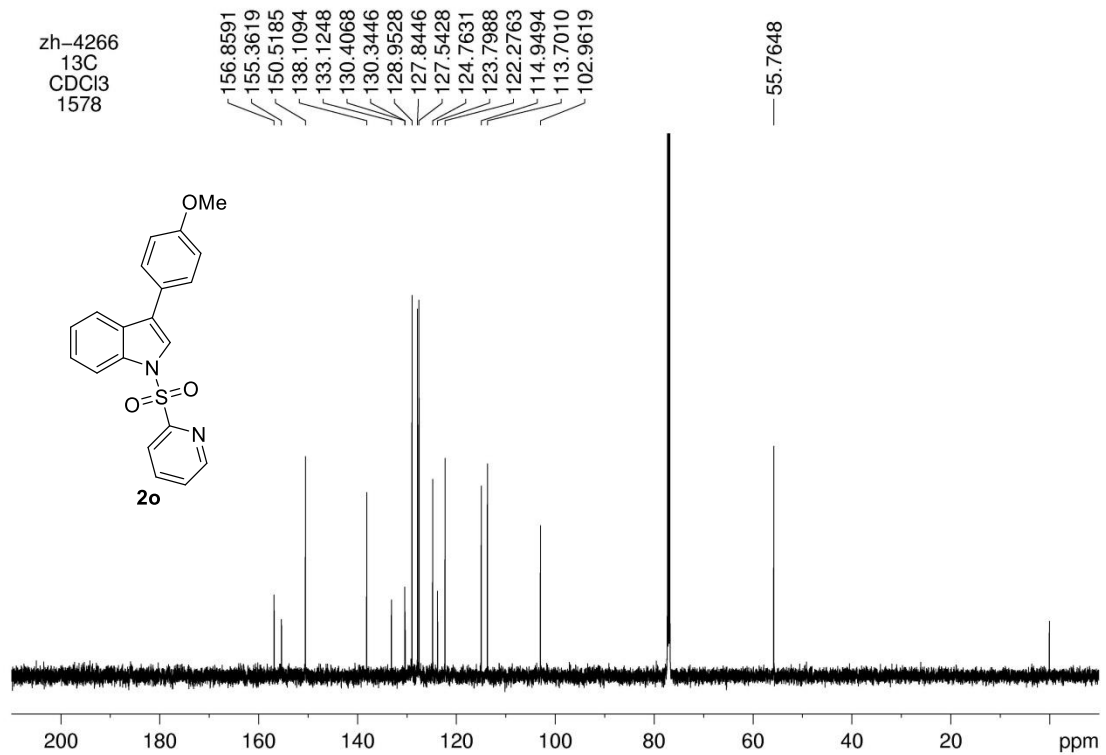
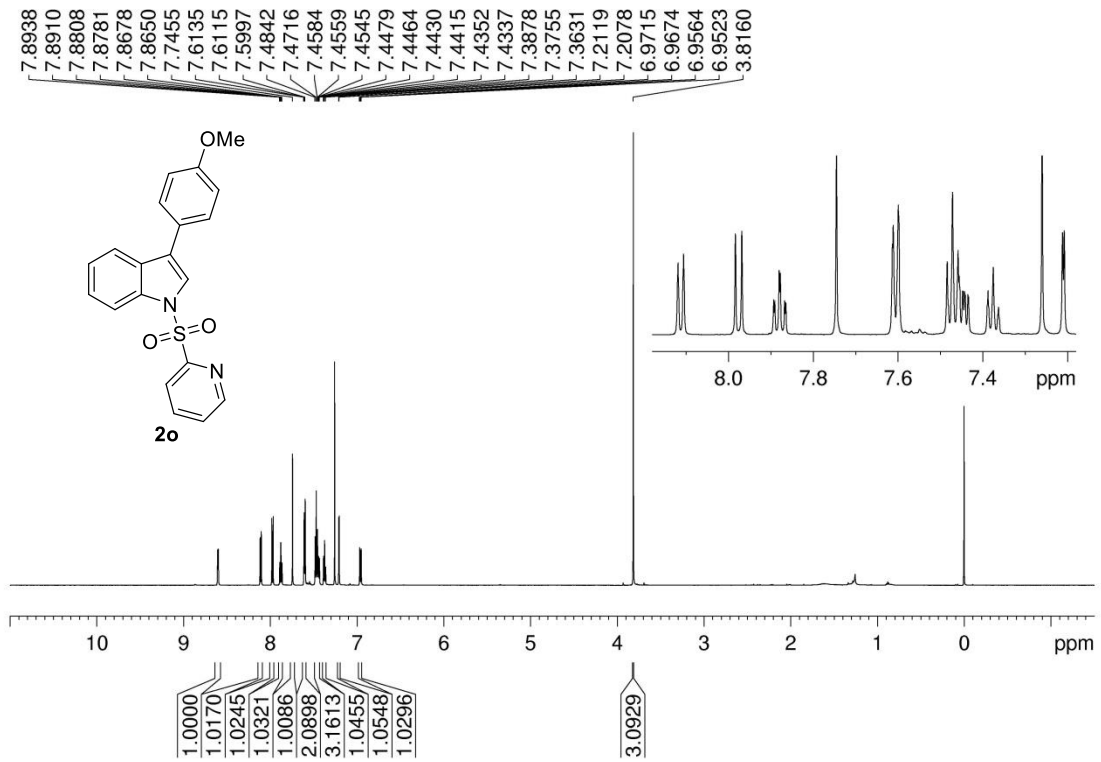




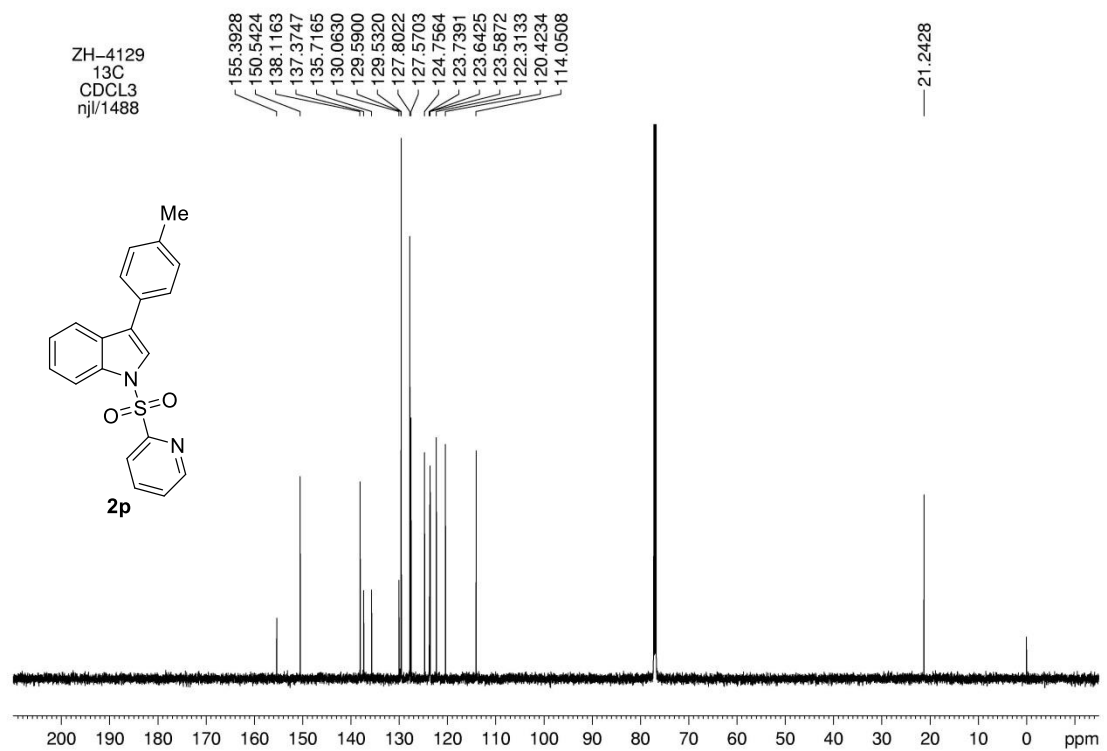
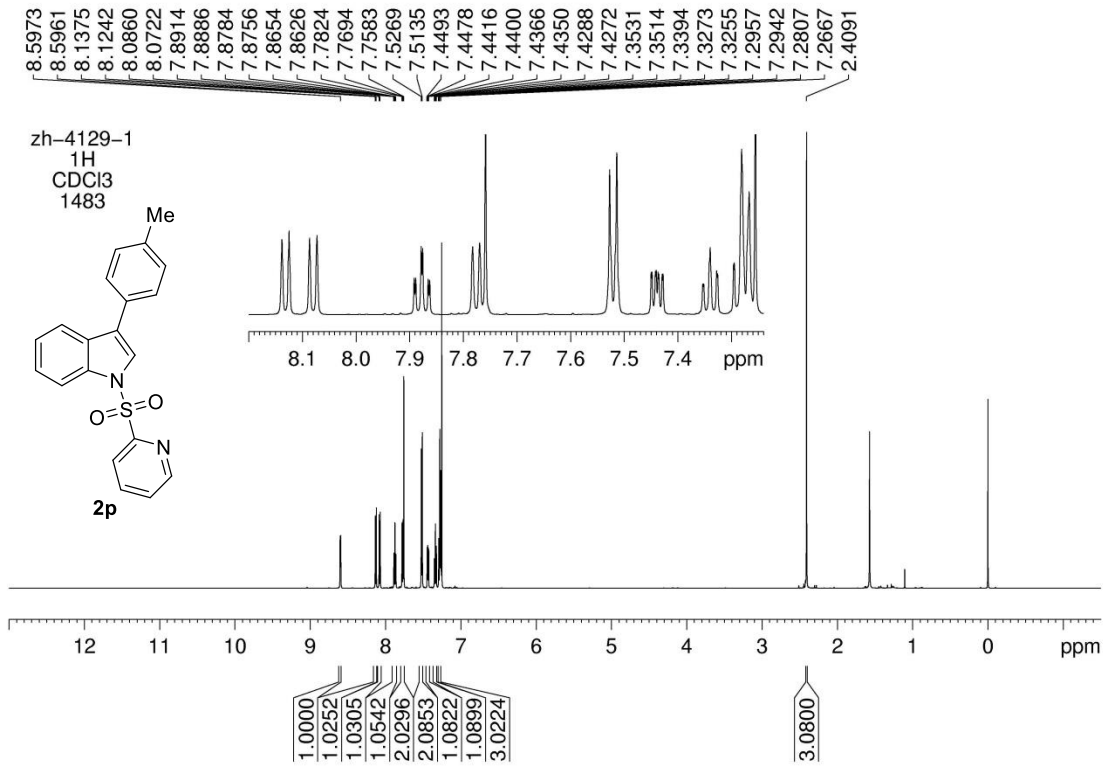


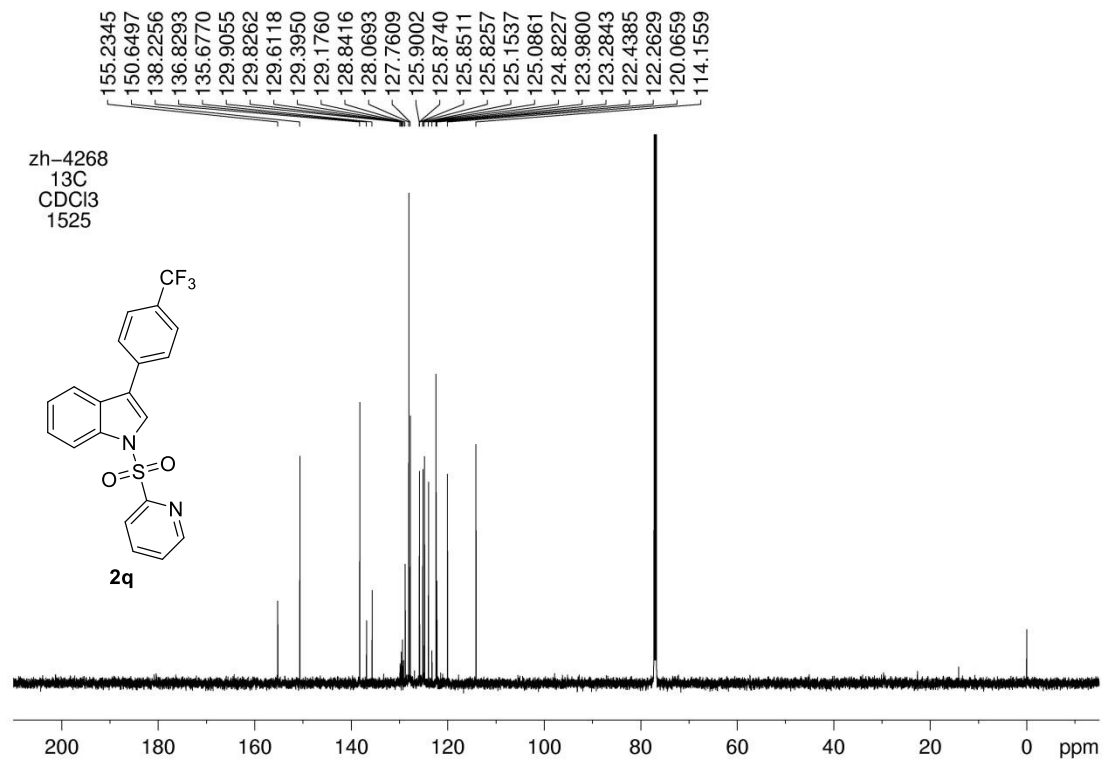
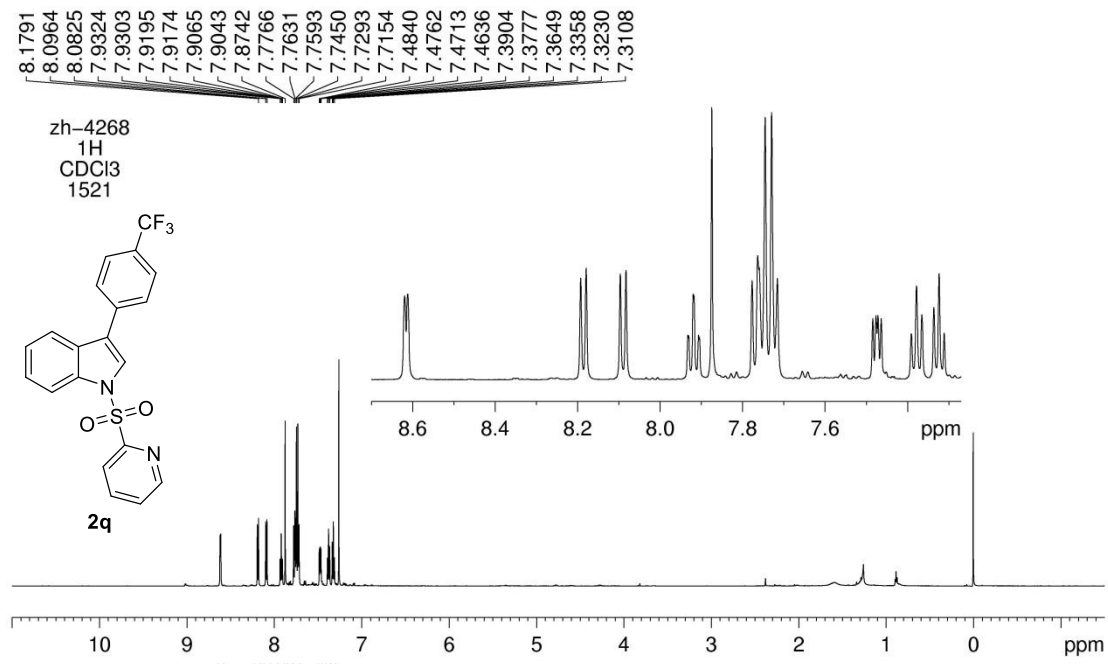


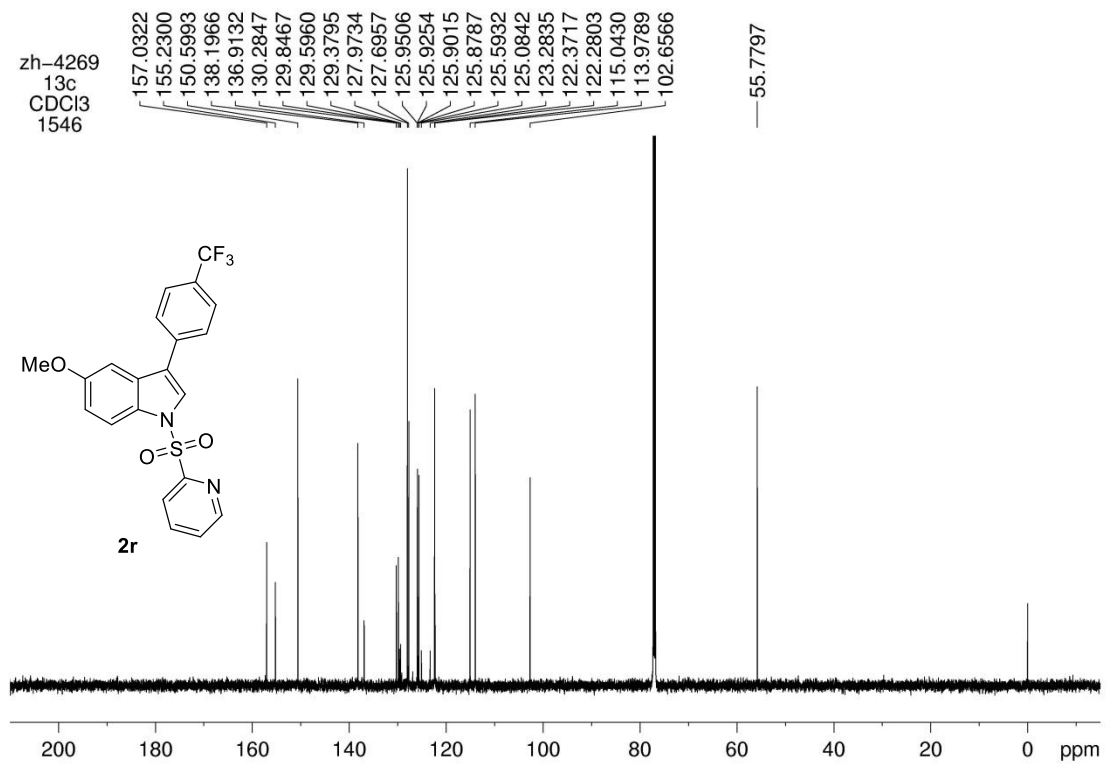
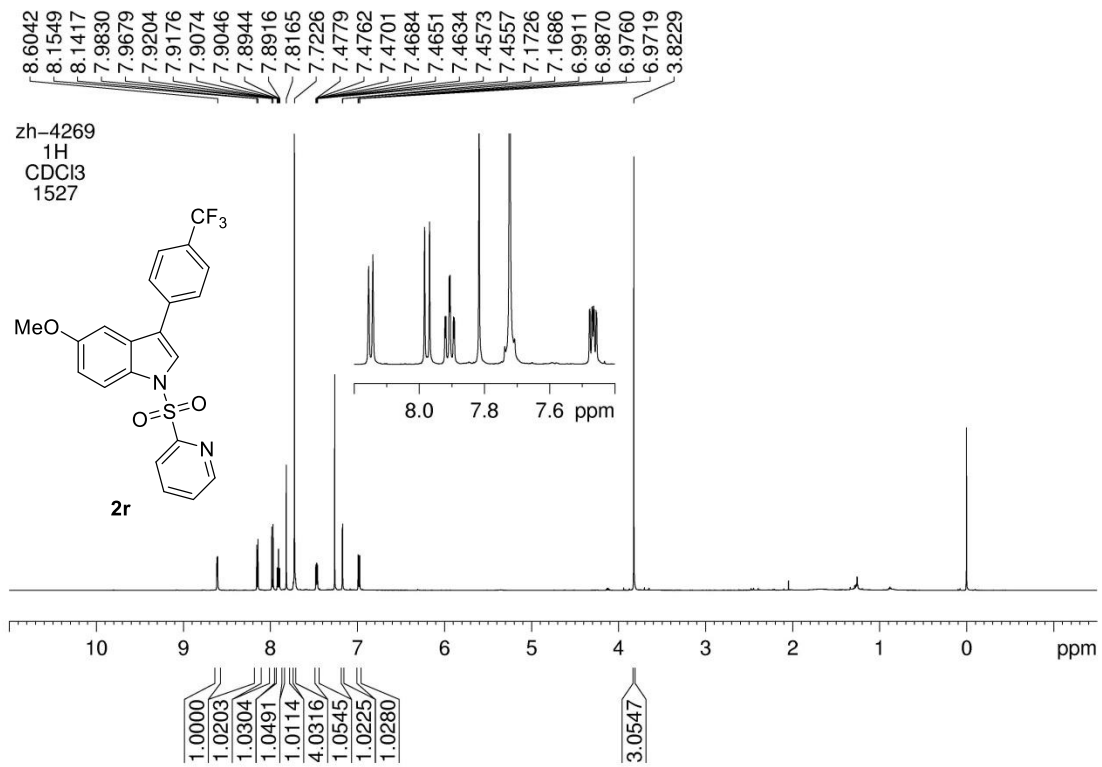


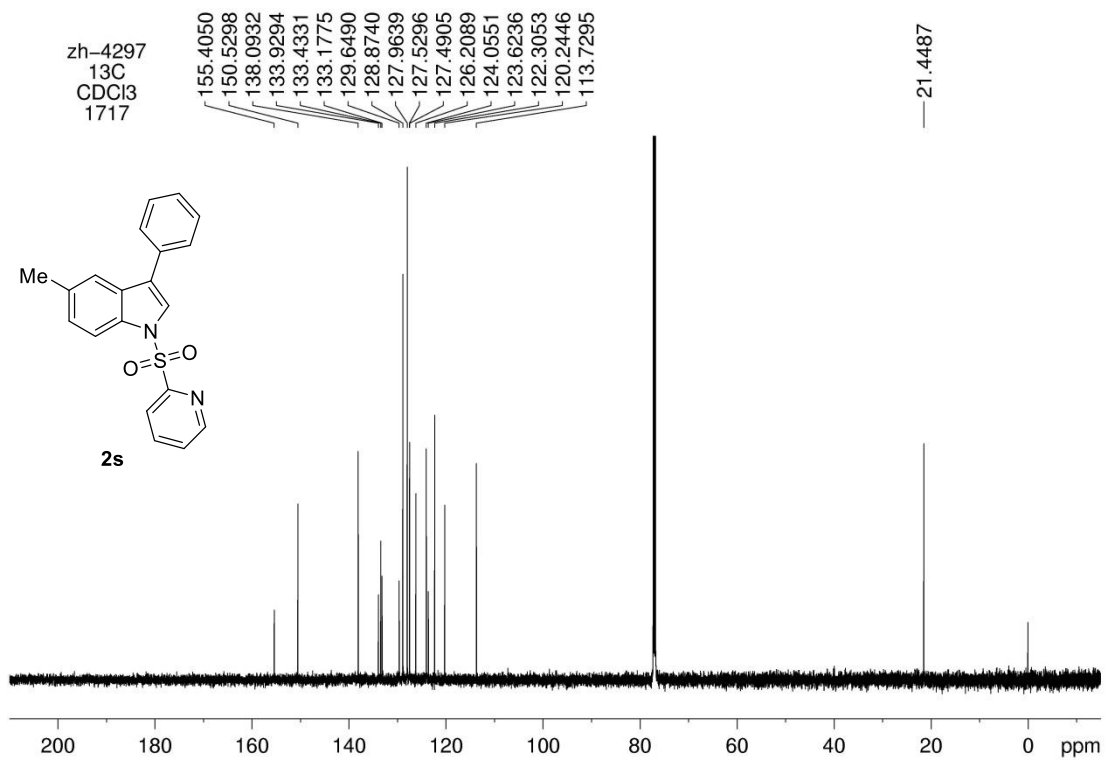
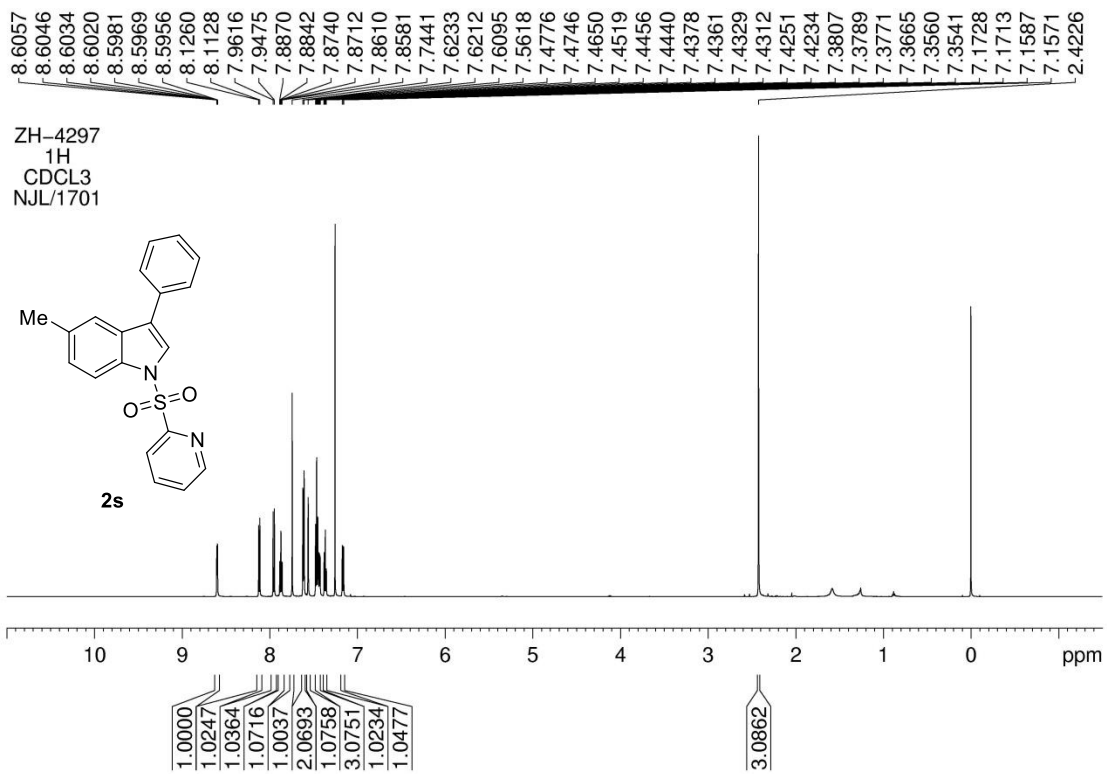


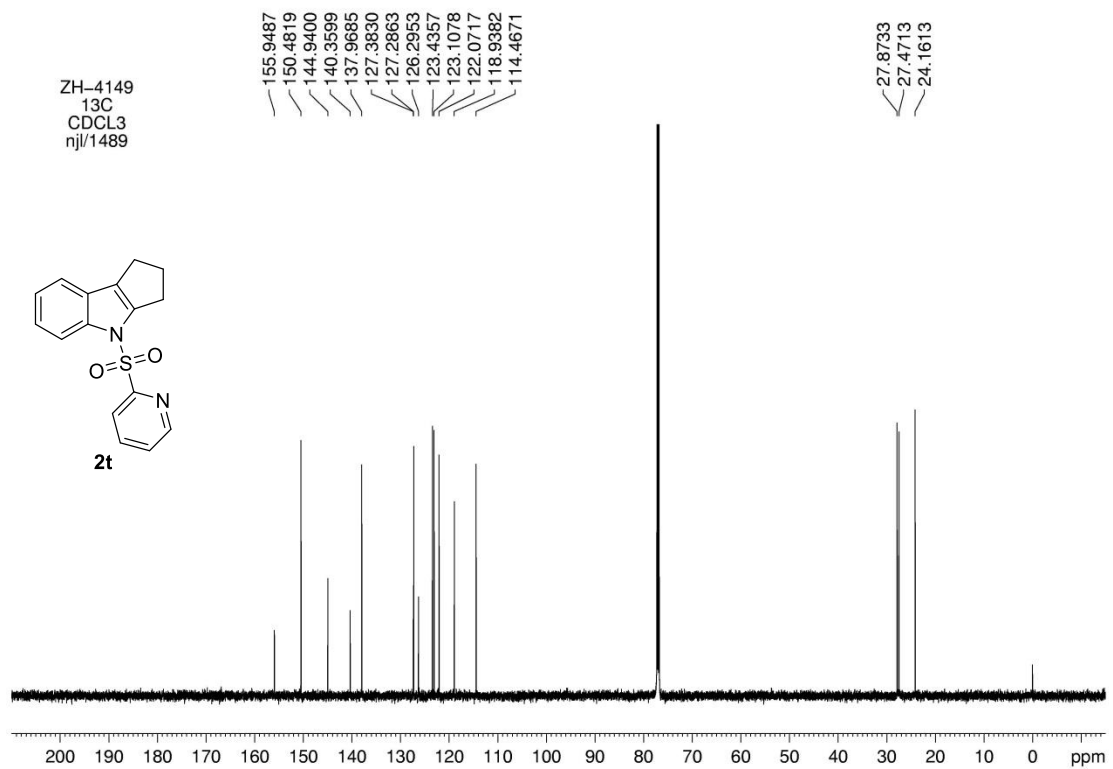
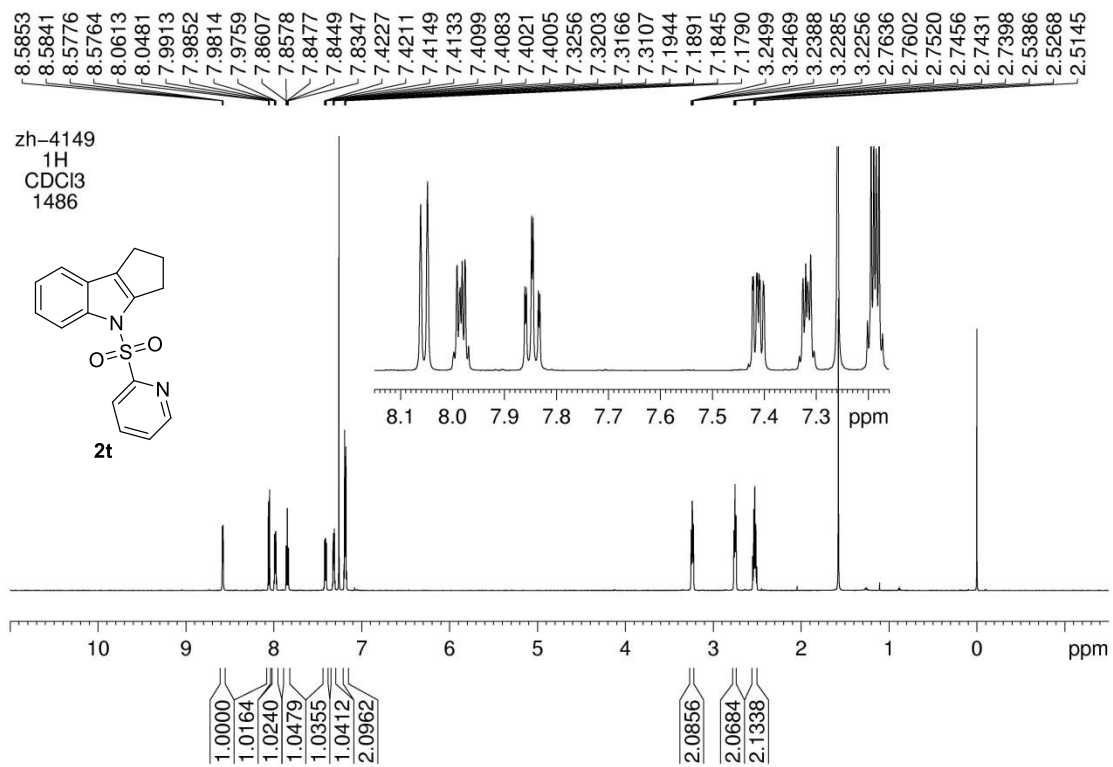


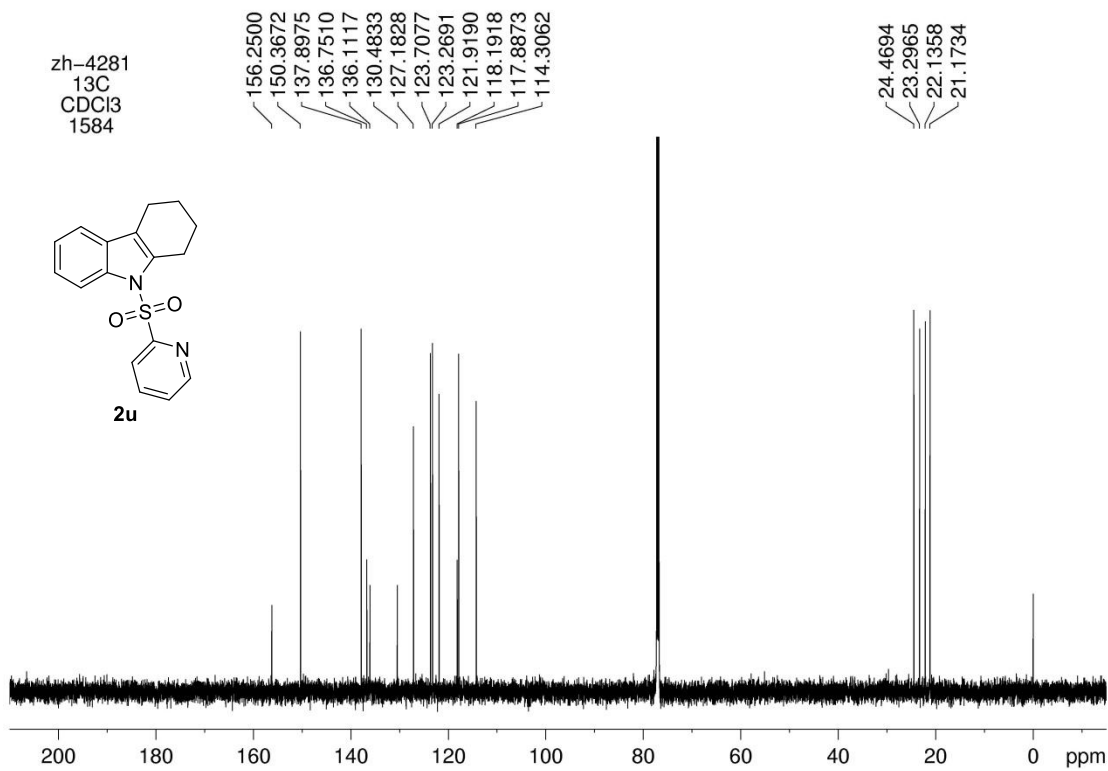
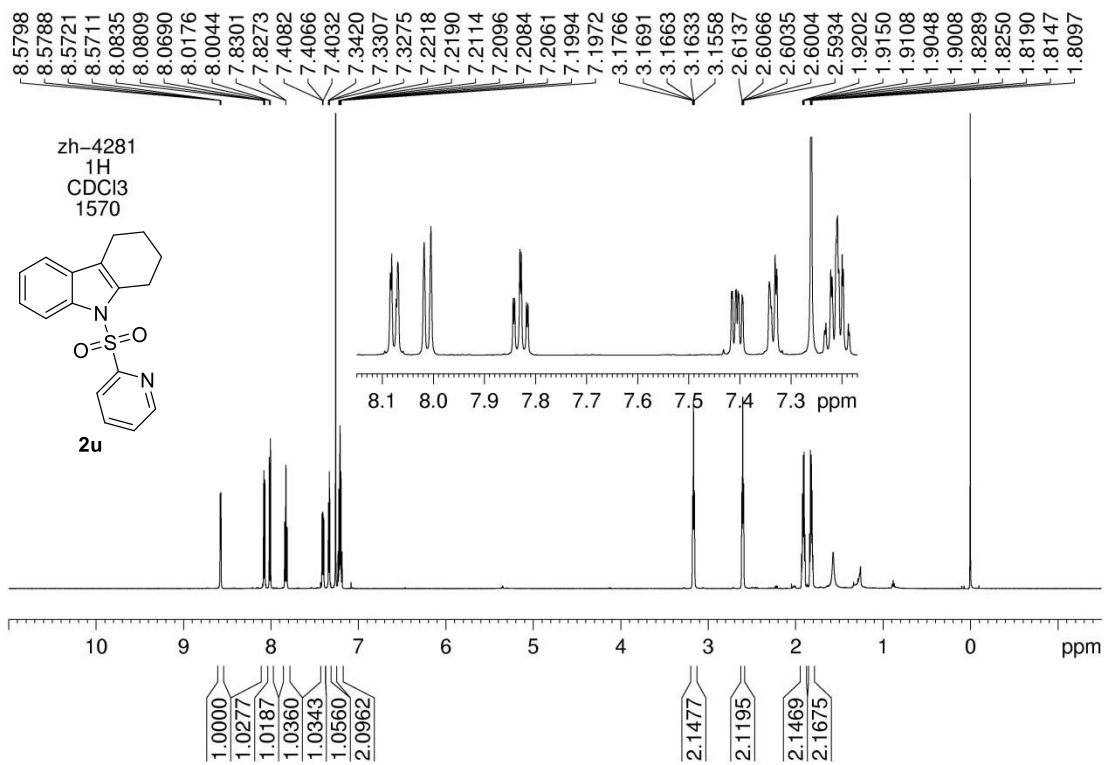


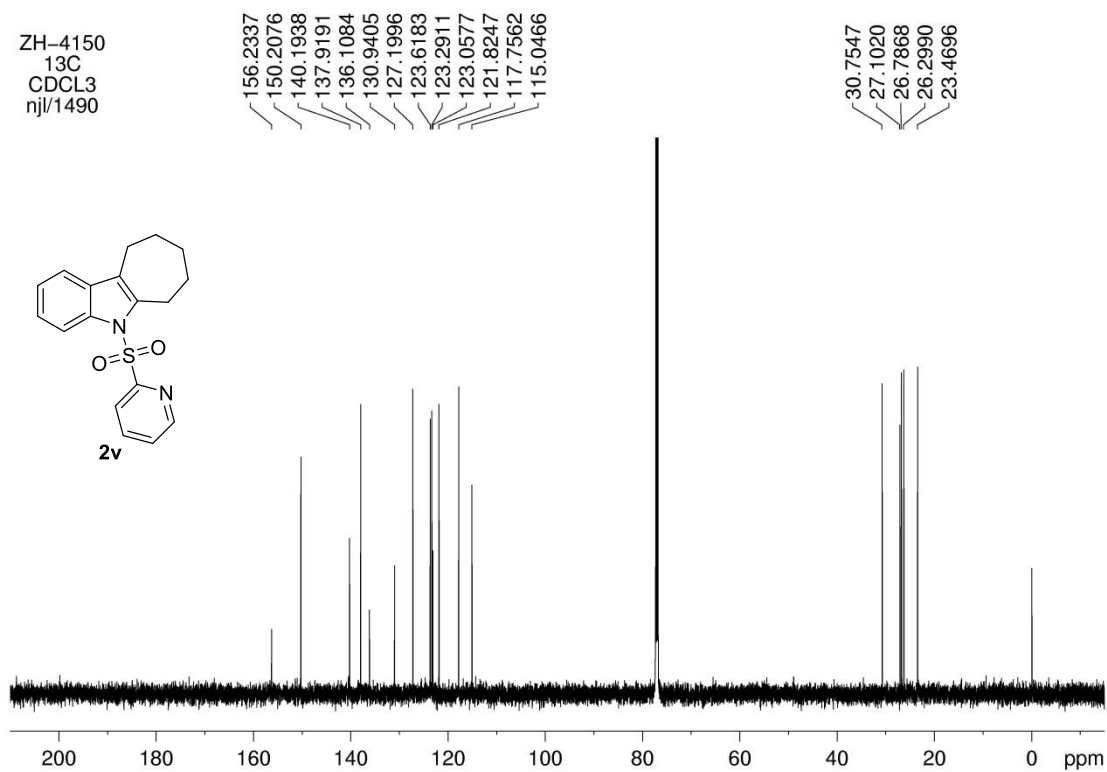
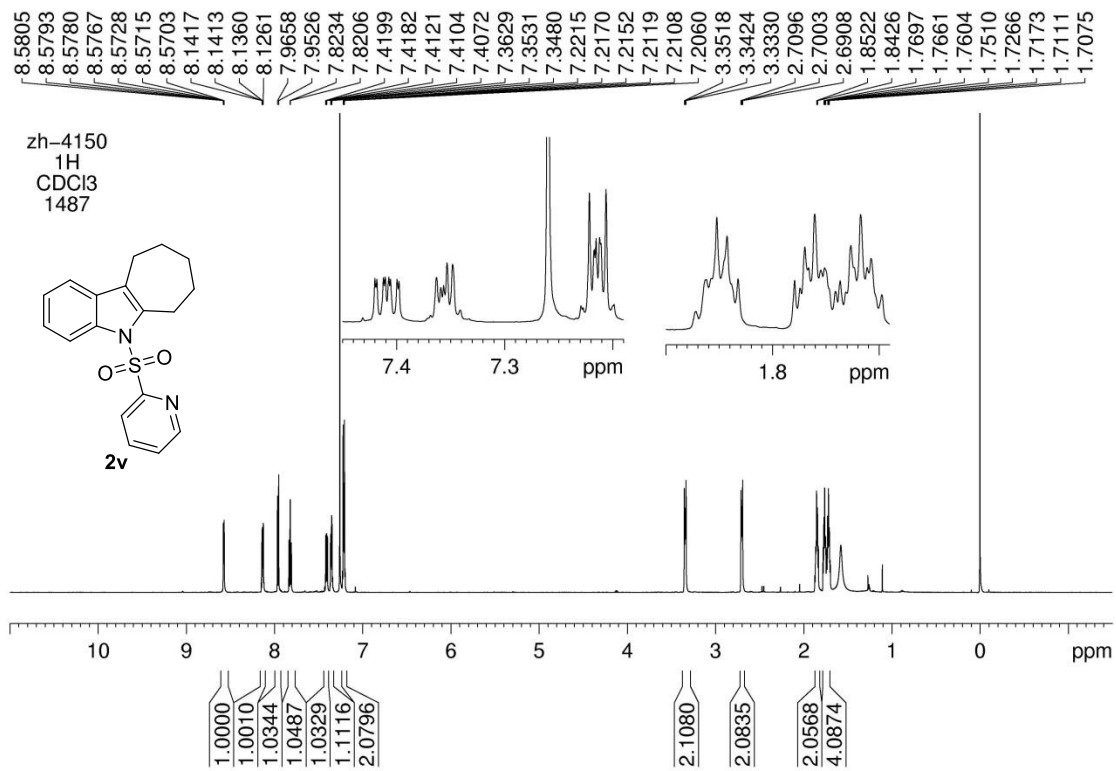


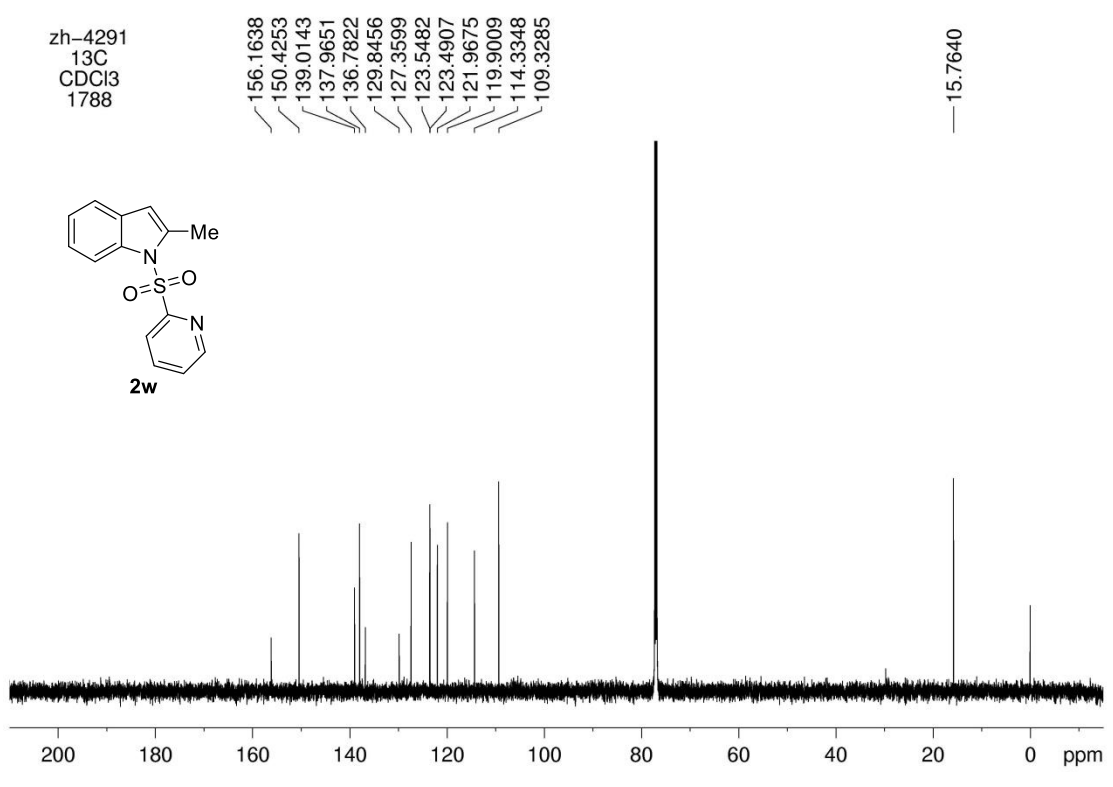
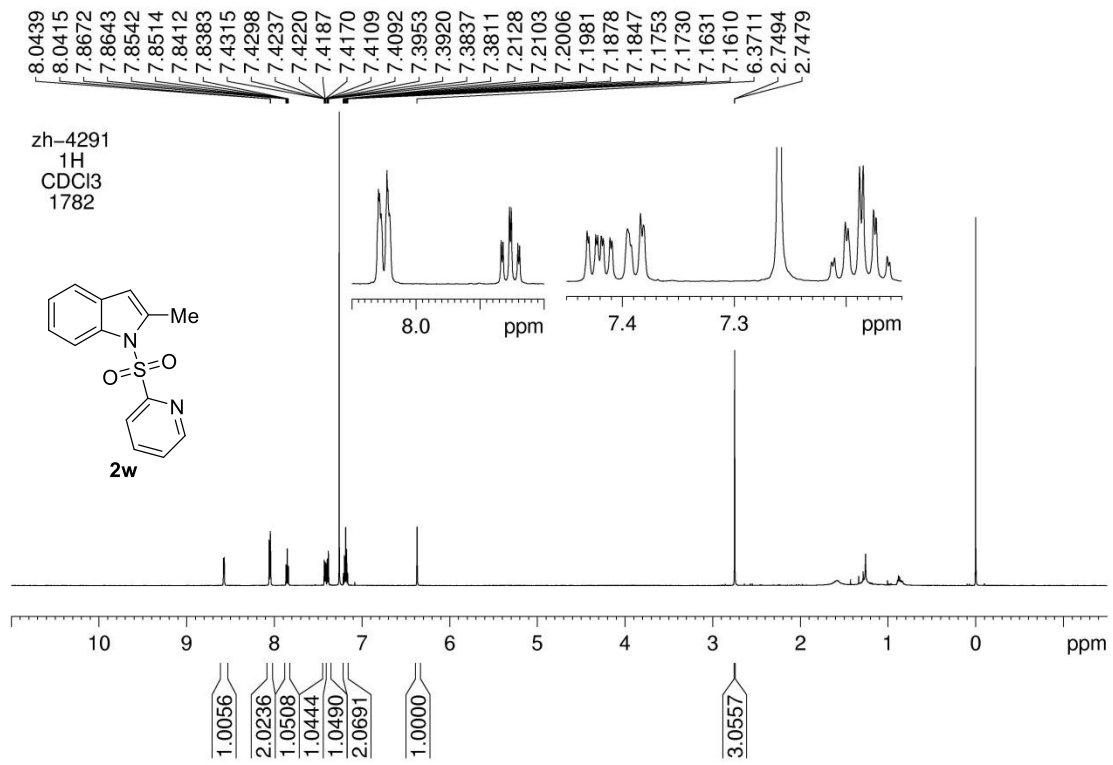




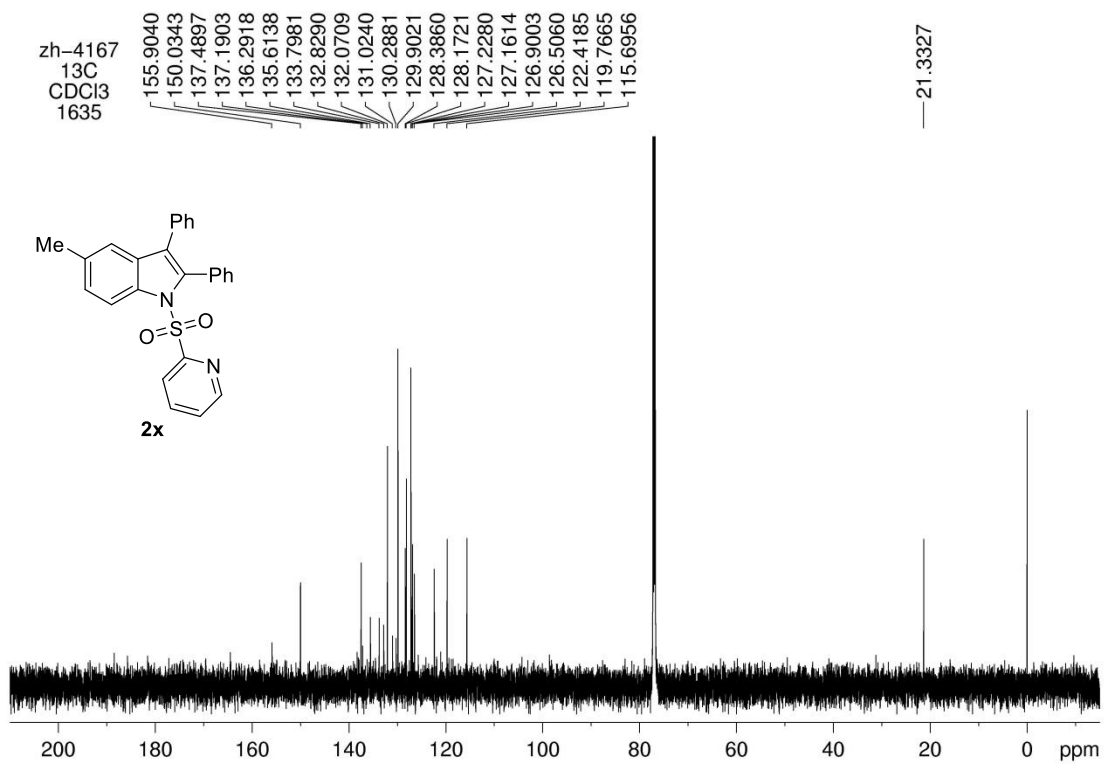
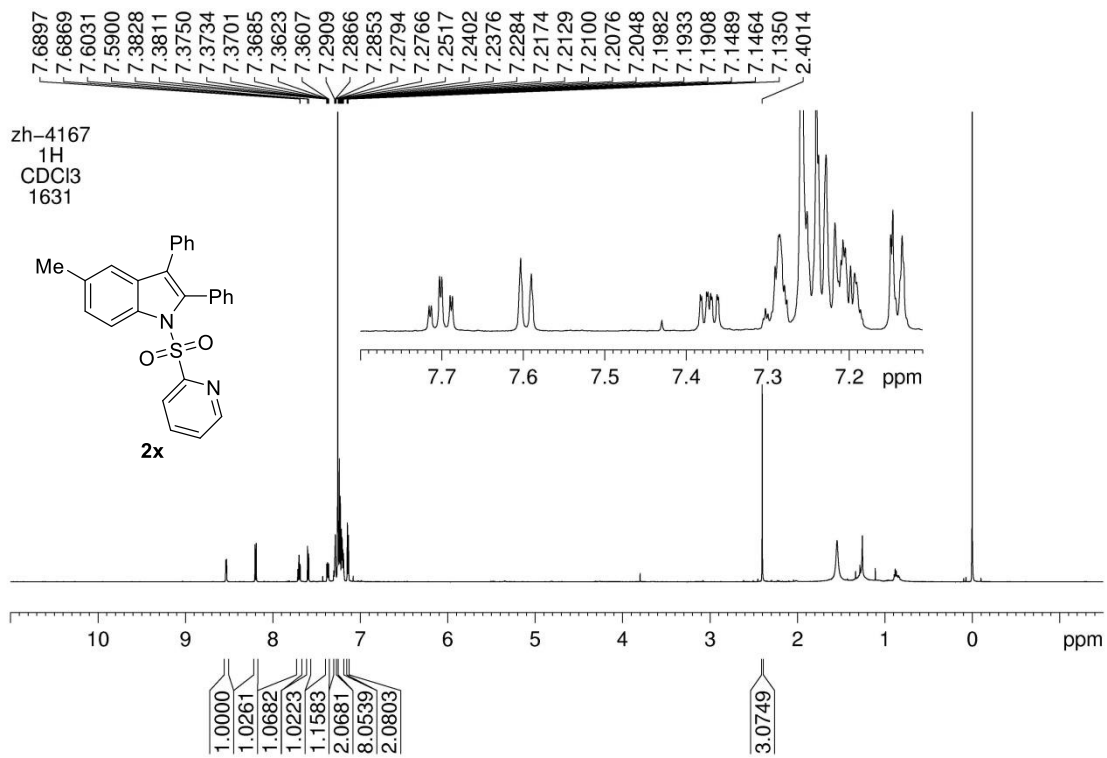


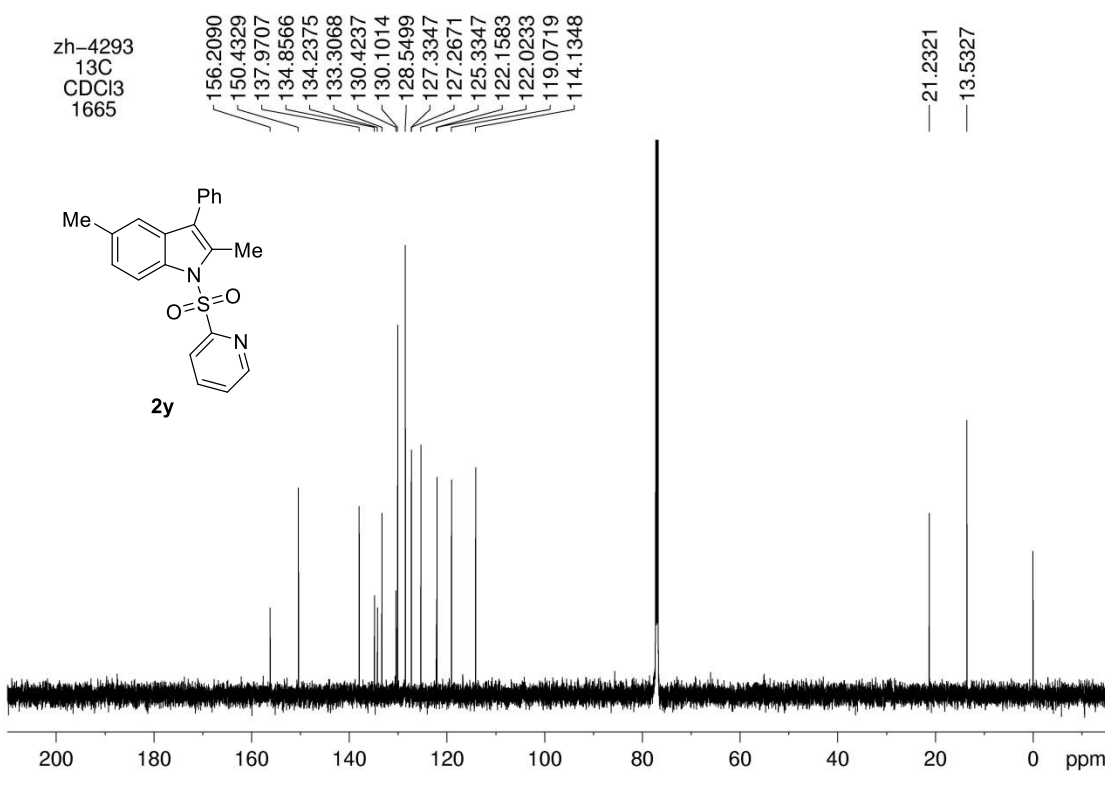
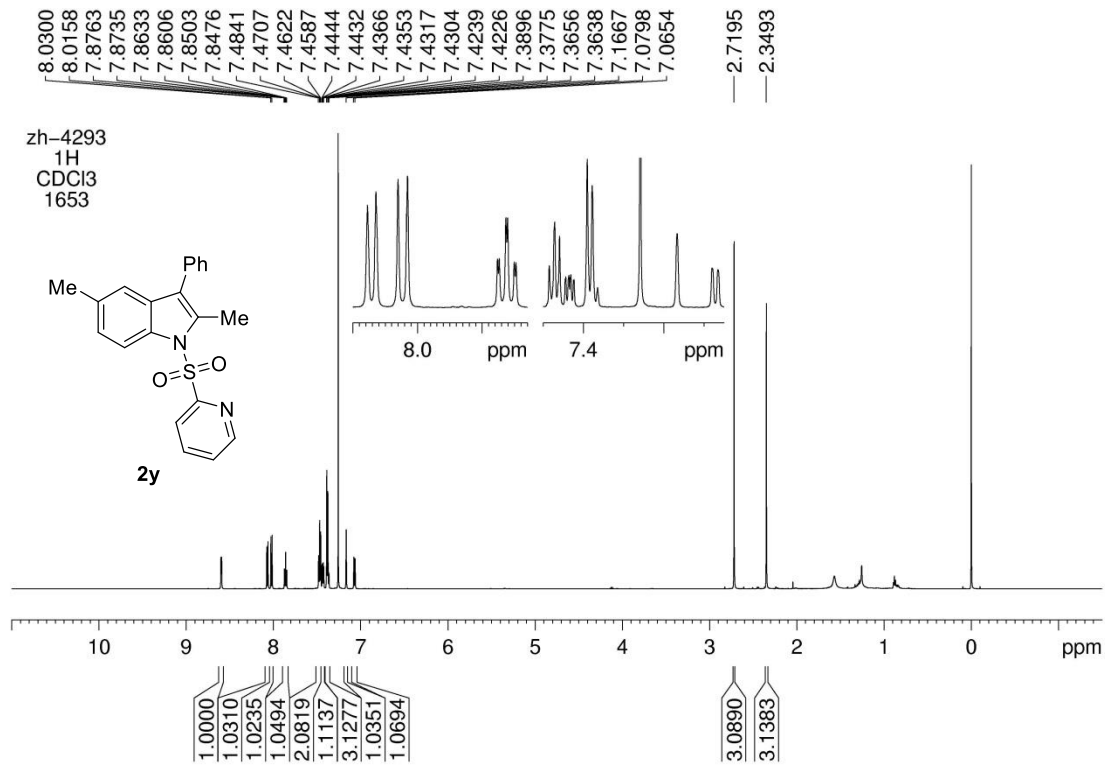


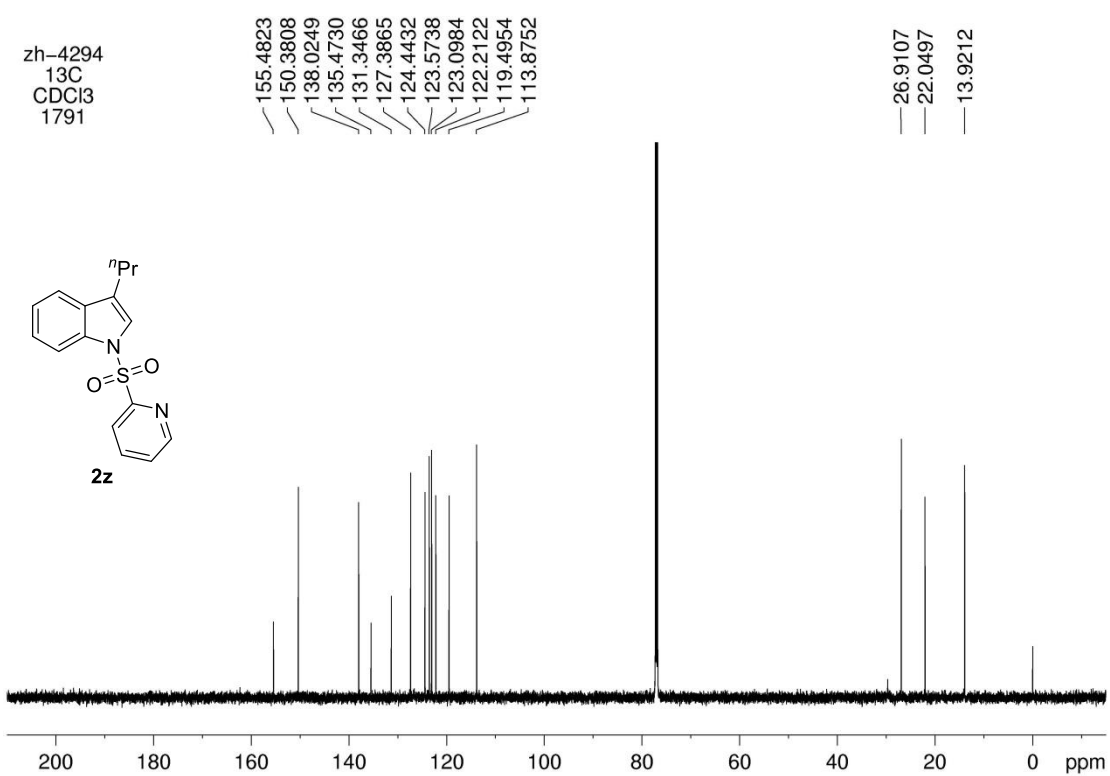
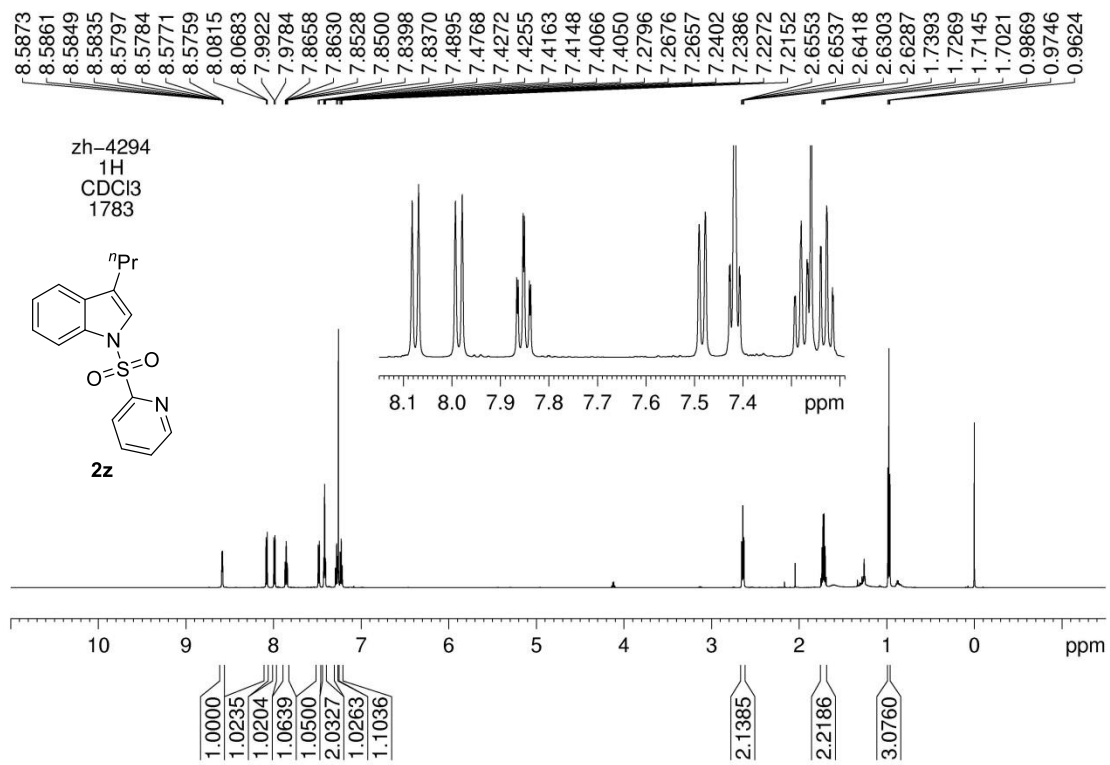


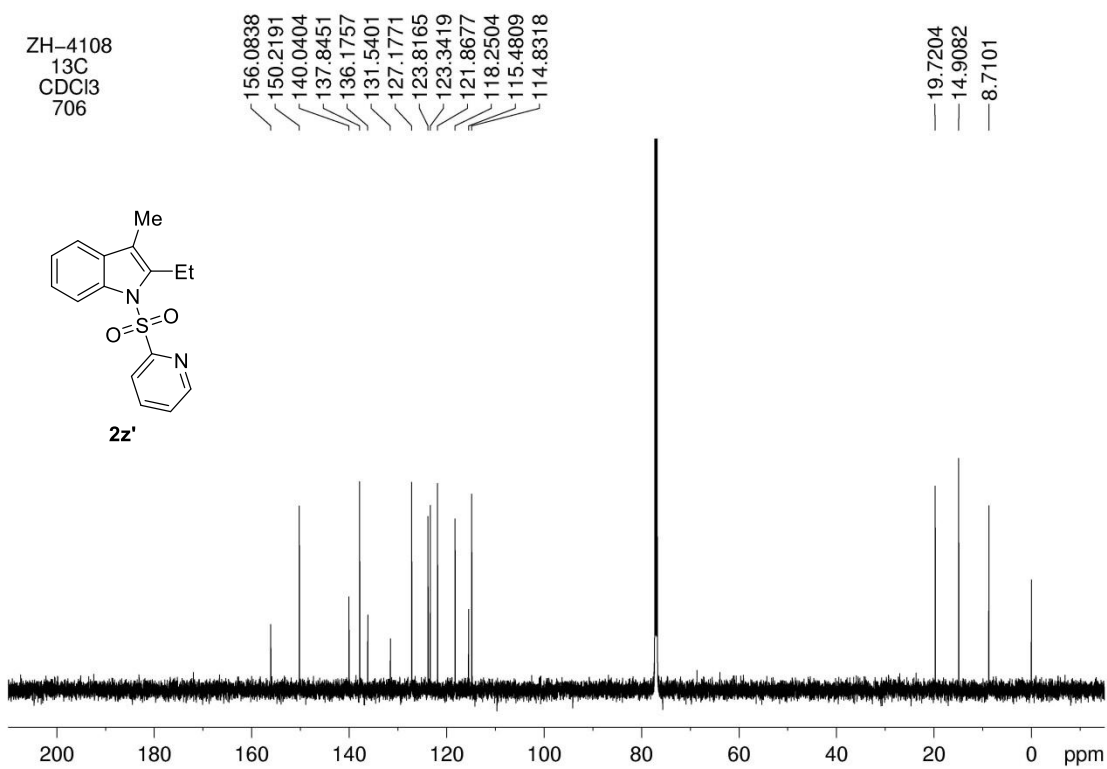
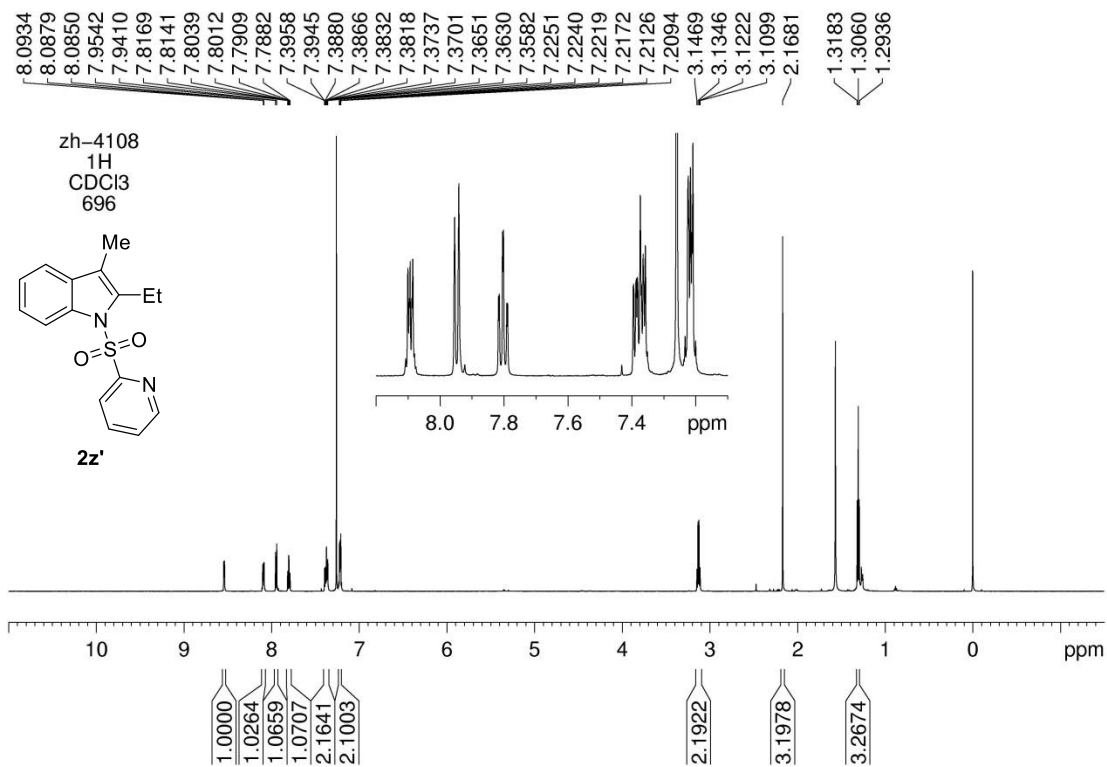












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