

## SUPPORTING INFORMATION

### Rongalite Induced Metal-Free C(sp<sup>2</sup>)–H Functionalization of Indoles: A direct access to the 3-(sulfonyl methyl) Indoles

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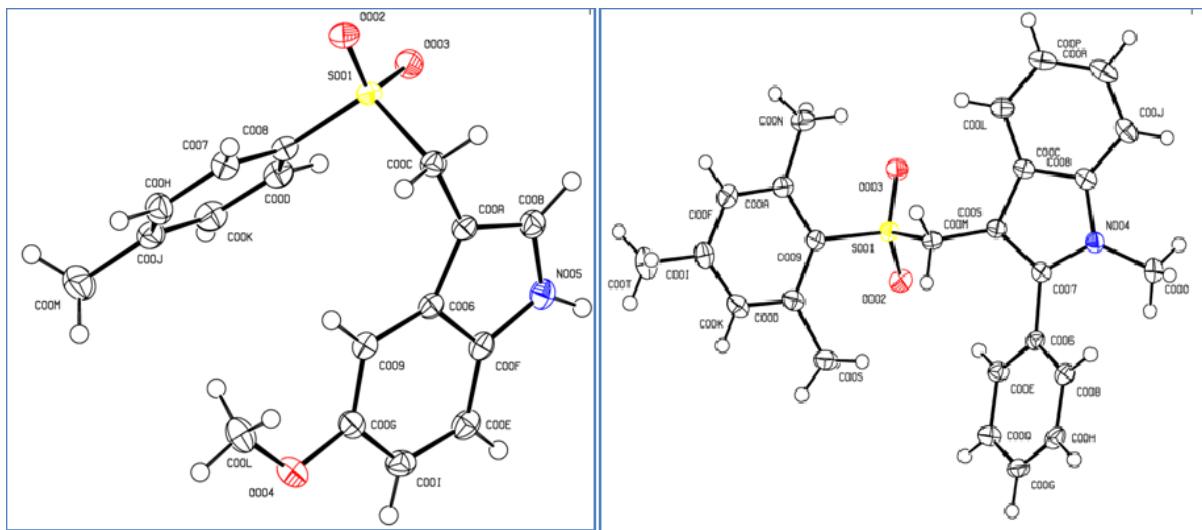
## TABLE OF CONTENTS

Information	Page
1. General Information	S1-S2
2. General procedure for the Reaction of Synthesis of 3-(phenylsulfonyl methyl) Substituted Indole Derivatives	S2
3. Crystallographic Data and Structure Refinement Parameters of compounds <b>4g</b> and <b>4z</b>	S3-S4
4. Characterization Data	S4-S12
5. References	S12
6. NMR ( <sup>1</sup> H and <sup>13</sup> C), HRMS Spectra of Compounds <b>4a-4ac</b> and HRMS of <b>5</b>	S13-S71

**1 General Information:** All the starting compounds used in the reaction were purchased from Sigma-Aldrich, Spectrochem, SRL, and SD-Fine used as received. All the reagents are analytical grade and used directly without any further purification. All the reactions were performed in EtOH solvent. The conformation of the reactions was monitored using analytical on Thin Layer Chromatography (TLC) Merck silica gel G/GF 254 plates and used UV-Cabinet for visualization of compound spots on TLC plate. Purification of compounds using column chromatography were performed with the Rankem silica gel (60-120 mesh). Finding the melting points of solid compounds was determined by open capillaries using Stuart SMP30 melting point apparatus and is uncorrected. NMR (<sup>1</sup>H and <sup>13</sup>C) spectra of all the synthesized compounds were recorded on Bruker AVANCE HD (400 MHz / 100 MHz) spectrometer using CDCl<sub>3</sub> and DMSO-*d*<sub>6</sub> as solvents and TMS as an internal standard. The data of the compounds was recorded as chemical shifts ( $\delta$  ppm) (multiplicity, coupling constant (Hz), integration). Abbreviations for the multiplicity as follows: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublet. The mass spectrum analysis was recorded in Bruker-micro-TOF MS analyzer.

**2. General Procedure for the Preparation of 3-(phenylsulfonyl methyl) Substituted Indole Derivatives (4a-ac):** In a clean and dried round bottom flask, Indole**1a-q** (1.0 mmol), 4-methylbenzenesulfonohydrazide **2a-e** (1.0 mmol) and rongalite **3** (240 mg, 2.0 mmol) in ethanol (2 mL) were added. The reaction mixture was allowed to stir at 70 °C. After completion of reaction (monitored by TLC) evaporate the solvent and the crude residue was extracted using ethyl acetate solvent (10 mL x 3) and dried over anhydrous sodium sulphate, filtered and evaporated under reduced pressure. The crude mixture was separated using column chromatography with silica-gel (100-200 mesh) as stationary phase and eluting with ethyl acetate/ hexanes solvents as mobile phase to obtain the target compounds **4a-4ac**.

### 3. Crystallographic Data and Structure Refinement Parameters of the Compounds **4g** and **4z**

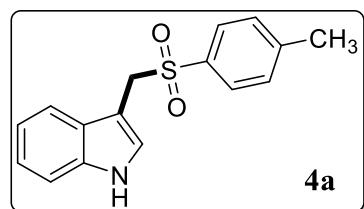


Identification code	<b>4g</b>	<b>4z</b>
Empirical formula	C <sub>17</sub> H <sub>17</sub> NO <sub>3</sub> S	C <sub>19</sub> H <sub>21</sub> NO <sub>2</sub> S
Formula weight	315.0929	327.4405
Crystal system	Monoclinic	Triclinic
Space group	<i>P</i> 121/c1	<i>P</i> -1
<i>T</i> /K	93(2)	93 (2)
<i>a</i> /Å	10.6272(2)	8.4532(2)
<i>b</i> /Å	16.6924(2)	10.3709(2)
<i>c</i> /Å	9.0871(1)	12.4478(3)
$\alpha$ /°	90	96.935(2)
$\beta$ /°	109.219(2)	104.121(2)
$\gamma$ /°	90	102.127(2)
<i>Z</i>	4	4
Volume, <i>V</i> /Å <sup>3</sup>	1522.15(4)	1017.51(4)
<i>D</i> <sub>calc</sub> / g/cm <sup>3</sup>	1.376	1.317
<i>F</i> (000)	667.3	429.9

Absorption coefficient, $\mu/\text{mm}^{-1}$	1.996	1.576
$\theta^{\circ}$ range for data collection	4.40 to 79.65	3.72 to 79.50
Index ranges	-13 $\leq h \leq 13$	-10 $\leq h \leq 10$
	-21 $\leq k \leq 21$	-13 $\leq k \leq 13$
	-9 $\leq l \leq 11$	-15 $\leq l \leq 15$
Parameters	209	267
$R_I (I > 2\sigma(I))$	0.0364	0.0390
$wR_2$ (all data)	0.0978	0.1065
Max. and min. transmission	0.819 and 0.787	0.854 and 0.828
Goodness-of-fit on $F^2$	1.031	1.023
CCDC	1975044	1975054

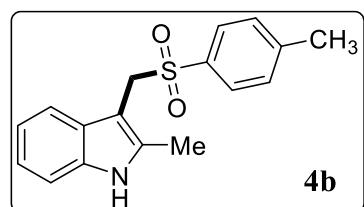
#### 4. Characterization Data

##### 3-(tosylmethyl)-1*H*-indole (**4a**)<sup>1&2</sup>



Yield: 90%, brown solid, M.P. 166-167 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.31 (s, 1H), 7.48 (d,  $J = 8.0$  Hz, 2H), 7.25 (d,  $J = 8.4$  Hz, 1H), 7.21 (d,  $J = 8.0$  Hz, 1H), 7.08 (dd,  $J = 14.7, 7.6$  Hz, 3H), 6.99 (s, 1H), 6.94 (t,  $J = 7.6$  Hz, 1H), 4.44 (s, 2H), 2.30 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  144.5, 135.8, 135.4, 129.5, 128.6, 127.0, 125.9, 122.5, 120.2, 118.6, 111.3, 103.0, 54.5, 21.6. HRMS (ESI-TOF): m/z calcd for  $\text{C}_{16}\text{H}_{15}\text{NO}_2\text{S} [\text{M}+\text{Na}]^+$  308.0723, found 308.0715.

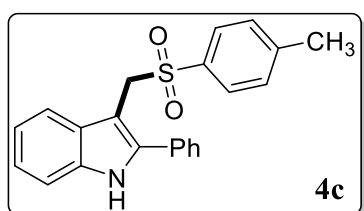
##### 2-methyl-3-(tosylmethyl)-1*H*-indole (**4b**)<sup>1</sup>



Yield: 90%, white solid, M.P. 187-188 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.03 (s, 1H), 7.51 (d,  $J = 8.0$  Hz, 2H), 7.23 (d,  $J = 8.0$  Hz, 1H), 7.16 (d,  $J = 8.0$  Hz, 3H), 7.08 (t,  $J = 7.4$  Hz, 1H), 6.96 (t,  $J = 7.4$  Hz, 1H), 4.45 (s, 2H), 2.37 (s, 3H), 2.11 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  144.4, 135.9, 135.5, 134.9, 129.5, 128.7, 128.2, 126.5, 121.6, 120.0,

118.0, 110.3, 99.4, 54.0, 21.6, 11.5. HRMS (ESI-TOF): m/z calcd for C<sub>17</sub>H<sub>17</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 322.0872, found 322.0871.

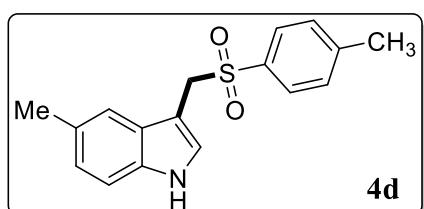
### **2-phenyl-3-(tosylmethyl)-1*H*-indole (4c)<sup>1</sup>**



Yield: 85%, white crystalline solid, M.P. 211-212 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.16 (s, 1H), 7.43 (t, *J* = 8.2 Hz, 3H), 7.30 (s, 5H), 7.19 (s, 1H), 7.14 (t, *J* = 7.4 Hz, 1H), 7.04 (t, *J* = 7.4 Hz, 3H), 4.56 (s, 2H), 2.31 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 135.71, 135.49, 129.50, 128.81, 128.52, 128.30, 122.91, 120.62, 120.01, 110.81, 54.36, 21.60. HRMS (ESI-TOF): m/z calcd for C<sub>22</sub>H<sub>19</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 384.1029, found 384.1029.

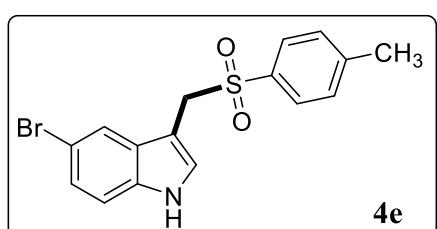
### **5-methyl-3-(tosylmethyl)-1*H*-indole (4d)**



Yield: 89%, light brown solid, M.P. 131-133 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.41 (s, 1H), 7.58 (d, *J* = 8.0 Hz, 2H), 7.22 (d, *J* = 8.4 Hz, 2H), 7.18 (s, 1H), 7.02 – 6.95 (m, 2H), 6.93 (s, 1H), 4.50 (s, 2H), 2.40 (s, 3H), 2.34 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 144.4, 135.5, 134.1, 129.4, 128.8, 125.9, 124.1, 118.2, 110.8, 102.6, 54.6, 21.6, 21.3. HRMS (ESI-TOF): m/z calcd for C<sub>17</sub>H<sub>17</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 322.0872, found 322.0868.

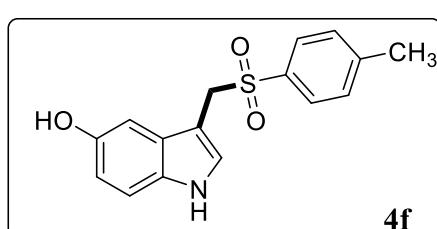
### **5-bromo-3-(tosylmethyl)-1*H*-indole (4e)**



Yield: 30%, brown solid, M.P. 236-238 °C. <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 11.35 (s, 1H), 7.59 (d, *J* = 8.3 Hz, 2H), 7.43 (s, 1H), 7.35 – 7.30 (m, 3H), 7.22 (d, *J* = 2.7 Hz, 1H), 7.15 (dd, *J* = 8.7, 2.0 Hz, 1H), 4.74 (s, 2H), 2.39 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>) δ 144.60, 136.24, 134.99,

129.95, 129.29, 129.14, 128.64, 124.18, 121.76, 113.94, 112.20, 102.09, 53.50, 21.58. HRMS (ESI-TOF): m/z calcd for C<sub>16</sub>H<sub>14</sub>BrNO<sub>2</sub>S [M+H]<sup>+</sup> 364.0001, found 364.0008

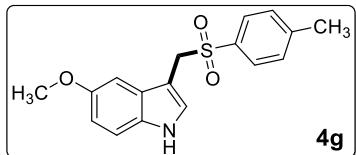
### **3-(tosylmethyl)-1*H*-indol-5-ol (4f)**



Yield: 85%, pink white solid, M.P. 158-160 °C. <sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 10.82 (s, 1H), 8.65 (s, 1H), 7.60 (d, *J* = 8.2 Hz, 2H), 7.35 (d, *J* = 8.2 Hz, 2H), 7.13 (d, *J* = 8.6 Hz, 1H), 6.94 (d, *J* = 2.7 Hz, 1H), 6.84 (s,

1H), 6.60 (d,  $J$  = 11.0 Hz, 1H), 4.60 (s, 2H), 2.37 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  151.21, 144.32, 136.51, 130.84, 129.95, 128.43, 127.76, 112.21, 103.51, 100.91, 53.99, 21.52. HRMS (ESI-TOF): m/z calcd for C<sub>16</sub>H<sub>15</sub>NO<sub>3</sub>S [M+H]<sup>+</sup> 302.0845, found 302.0868.

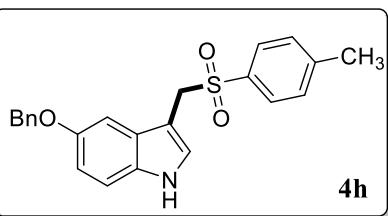
### 5-methoxy-3-(tosylmethyl)-1*H*-indole (**4g**)<sup>1</sup>



Yield: 90%, brown solid, M.P. 155-157 °C.

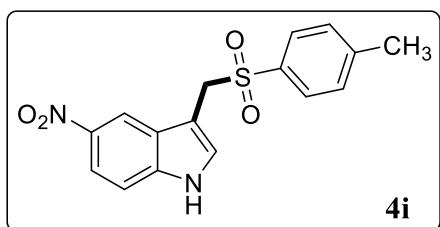
$^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.00 (s, 1H), 7.61 (d,  $J$  = 8.2 Hz, 2H), 7.33 (d,  $J$  = 8.2 Hz, 2H), 7.22 (d,  $J$  = 8.8 Hz, 1H), 7.10 (s, 1H), 6.82 (s, 1H), 6.70 (d,  $J$  = 8.8 Hz, 1H), 4.71 (s, 2H), 3.66 (s, 3H), 2.36 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO)  $\delta$  153.80, 144.35, 136.54, 131.34, 129.92, 128.61, 127.97, 112.57, 112.01, 101.82, 100.96, 55.62, 53.81, 21.47. HRMS (ESI-TOF): m/z calcd for C<sub>17</sub>H<sub>17</sub>NO<sub>3</sub>S [M+Na]<sup>+</sup> 338.0821, found 338.0820.

### 5-(benzyloxy)-3-(tosylmethyl)-1*H*-indole (**4h**)



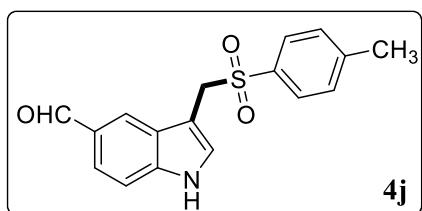
Yield: 82%, brown solid, M.P. 94-96 °C.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.03 (s, 1H), 7.62 (d,  $J$  = 8.3 Hz, 2H), 7.48 (d,  $J$  = 6.8 Hz, 2H), 7.42 (t,  $J$  = 7.4 Hz, 2H), 7.37 – 7.33 (m, 3H), 7.24 (d,  $J$  = 8.8 Hz, 1H), 7.12 (d,  $J$  = 2.7 Hz, 1H), 6.97 (s, 1H), 6.78 (d,  $J$  = 8.8 Hz, 1H), 4.94 (s, 2H), 4.72 (s, 2H), 2.34 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  152.94, 144.35, 138.09, 136.59, 131.57, 129.94, 128.87, 128.64, 128.15, 128.02, 112.58, 112.43, 102.65, 101.83, 70.32, 53.79, 21.49. HRMS (ESI-TOF): m/z calcd for C<sub>23</sub>H<sub>21</sub>NO<sub>3</sub>S [M+H]<sup>+</sup> 392.1315, found 392.1330.

### 5-nitro-3-(tosylmethyl)-1*H*-indole (**4i**)



Yield: 25%, brown solid, M.P. 232-234 °C.  $^1\text{H}$  NMR (400 MHz, DMSO- $d_6$ )  $\delta$  11.87 (s, 1H), 8.30 (d,  $J$  = 2.3 Hz, 1H), 7.96 (dd,  $J$  = 8.9, 2.3 Hz, 1H), 7.59 (d,  $J$  = 8.3 Hz, 2H), 7.52 (d,  $J$  = 9.0 Hz, 1H), 7.44 (s, 1H), 7.33 (d,  $J$  = 7.8 Hz, 2H), 4.89 (s, 2H), 2.34 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz, DMSO- $d_6$ )  $\delta$  144.72, 141.22, 139.48, 136.03, 131.45, 129.97, 128.61, 126.79, 117.08, 117.00, 112.62, 105.39, 53.15, 21.44. HRMS (ESI-TOF): m/z calcd for C<sub>16</sub>H<sub>14</sub>N<sub>2</sub>O<sub>4</sub>S [M+Na]<sup>+</sup> 353.0566, found 353.0591.

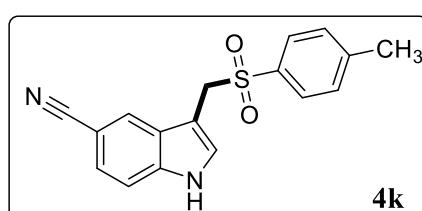
### **3-(tosylmethyl)-1*H*-indole-5-carbaldehyde (**4j**)**



Yield: 28%, yellow white solid, M.P. 222-224 °C

<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 11.66 (s, 1H), 9.88 (s, 1H), 7.94 (s, 1H), 7.61 (d, *J* = 7.0 Hz, 3H), 7.50 (d, *J* = 8.4 Hz, 1H), 7.34 (d, *J* = 10.1 Hz, 3H), 4.84 (s, 2H), 2.35 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 192.72, 144.61, 139.76, 136.14, 130.01, 129.76, 129.27, 128.61, 127.37, 124.96, 121.76, 112.78, 104.46, 53.42, 21.47. HRMS (ESI-TOF): m/z calcd for C<sub>17</sub>H<sub>15</sub>NO<sub>3</sub>S [M+Na]<sup>+</sup> 314.0845, found 314.0878.

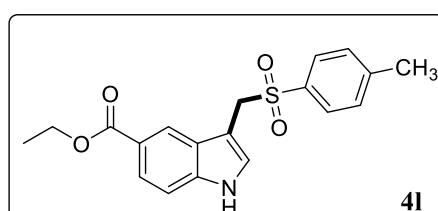
### **3-(tosylmethyl)-1*H*-indole-5-carbonitrile (**4k**)**



Yield: 30%, yellow white solid, M.P. 240-242°C.

<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 11.72 (s, 1H), 7.74 (s, 1H), 7.59 (d, *J* = 6.5 Hz, 2H), 7.51 (d, *J* = 8.4 Hz, 1H), 7.39 (d, *J* = 6.6 Hz, 2H), 7.34 (d, *J* = 7.0 Hz, 2H), 4.82 (s, 2H), 2.38 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 152.94, 144.35, 138.09, 136.59, 131.57, 129.94, 128.86, 128.64, 128.16, 128.11, 128.02, 112.58, 112.43, 102.65, 101.83, 70.32, 53.79, 21.50. HRMS (ESI-TOF): m/z calcd for C<sub>17</sub>H<sub>14</sub>N<sub>2</sub>O<sub>2</sub>S [M+H]<sup>+</sup> 311.0849, found 311.0865.

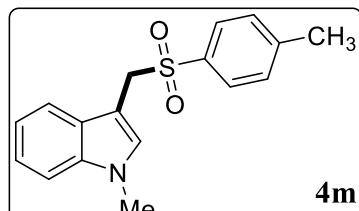
### **Ethyl 3-(tosylmethyl)-1*H*-indole-5-carboxylate (**4l**)**



Yield: 30%, light pink solid, M.P. 172-173 °C.

<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 11.50 (s, 1H), 8.05 (s, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.58 (d, *J* = 8.2 Hz, 2H), 7.42 (d, *J* = 8.6 Hz, 1H), 7.32 (d, *J* = 8.1 Hz, 2H), 7.26 (s, 1H), 4.80 (s, 2H), 4.31 (q, *J* = 7.1 Hz, 2H), 2.35 (s, 3H), 1.35 (t, *J* = 7.1 Hz, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 167.14, 144.51, 138.91, 136.14, 129.95, 129.42, 128.54, 127.02, 122.69, 122.22, 121.36, 111.93, 103.87, 60.57, 53.50, 21.47, 14.87. HRMS (ESI-TOF): m/z calcd for C<sub>19</sub>H<sub>19</sub>NO<sub>4</sub>S [M+H]<sup>+</sup> 358.1108, found 358.1366.

### **1-methyl-3-(tosylmethyl)-1*H*-indole (**4m**)**

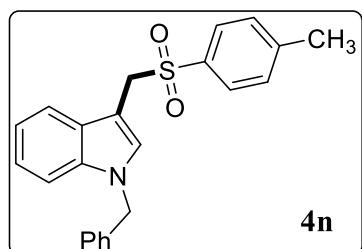


Yield: 88%, brown solid, M.P. 110-112 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.50 (d, *J* = 8.4 Hz, 2H), 7.18 (m, 1H), 7.14 – 7.08 (m, 4H), 6.94 (s, 1H), 6.93 – 6.90 (m, 1H), 4.42 (s, 2H), 3.68 (s, 3H), 2.30 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 144.4, 136.7, 135.6, 130.3, 129.5, 128.6, 127.6, 122.0, 119.8,

118.6, 109.4, 101.1, 54.5, 33.0, 21.6. HRMS (ESI-TOF): m/z calcd for  $C_{17}H_{17}NO_2S$  [M+Na]<sup>+</sup> 322.0872, found 322.0877.

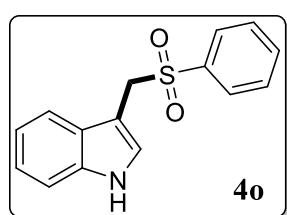
### **1-benzyl-3-(tosylmethyl)-1*H*-indole (**4n**)**



Yield: 85%, light yellow solid, M.P. 130-132 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.44 (d, *J* = 8.4 Hz, 2H), 7.28 (d, *J* = 8.0 Hz, 1H), 7.20 (qd, *J* = 4.9, 1.7 Hz, 3H), 7.15 (d, *J* = 8.0 Hz, 1H), 7.07 – 7.02 (m, 3H), 6.98 – 6.93 (m, 3H), 6.86 (s, 1H), 5.15 (s, 2H), 4.43 (s, 2H), 2.26 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 144.3, 137.0, 136.3, 135.2, 129.6, 129.4, 128.8, 128.7, 127.8, 126.8, 122.3, 120.1, 119.0, 109.9, 102.2, 54.5, 50.1, 21.6. HRMS (ESI-TOF): m/z calcd for  $C_{17}H_{17}NO_2S$  [M+Na]<sup>+</sup> 322.0880, found 322.0877. HRMS (ESI-TOF): m/z calcd for  $C_{23}H_{21}NO_2S$  [M+Na]<sup>+</sup> 398.1185, found 398.1188.

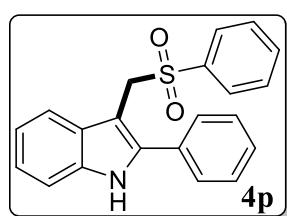
### **3-((phenylsulfonyl)methyl)-1*H*-indole (**4o**)<sup>1</sup>**



Yield: 90%, brown crystalline solid, M.P. 148-150 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 10.37 (s, 1H), 7.60 (d, *J* = 7.6 Hz, 2H), 7.49 (t, *J* = 7.4 Hz, 1H), 7.34 (t, *J* = 7.2 Hz, 2H), 7.30 (s, 1H), 7.23 (d, *J* = 8.4 Hz, 1H), 7.03 (t, *J* = 7.6 Hz, 1H), 6.97 (d, *J* = 2.4 Hz, 1H), 6.90 (t, *J* = 7.4 Hz, 1H), 4.47 (s, 2H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 138.3, 135.7, 133.5, 128.9, 128.6, 126.9, 125.9, 122.5, 120.3, 118.5, 111.3, 102.7, 54.5. HRMS (ESI-TOF): m/z calcd for  $C_{15}H_{13}NO_2S$  [M+Na]<sup>+</sup> 294.0559, found 294.0557.

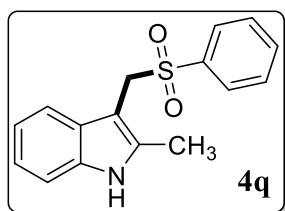
### **2-phenyl-3-((phenylsulfonyl)methyl)-1*H*-indole (**4p**)**



Yield: 88%, light pink crystalline solid, M.P. 194-196 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 10.45 (s, 1H), 7.55 (d, *J* = 5.2 Hz, 2H), 7.47 (s, 2H), 7.41 – 7.34 (m, 5H), 7.31 (d, *J* = 5.2 Hz, 3H), 7.09 (t, *J* = 5.6 Hz, 1H), 6.98 (t, *J* = 5.6 Hz, 1H), 4.59 (s, 2H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub> + CDCl<sub>3</sub>) δ 139.4, 138.8, 135.9, 133.4, 131.7, 128.8, 128.6, 128.5, 128.2, 128.1, 122.2, 119.9, 119.4, 111.3, 54.4. HRMS (ESI-TOF): m/z calcd for  $C_{21}H_{17}NO_2S$  [M+Na]<sup>+</sup> 370.0872, found 370.0874.

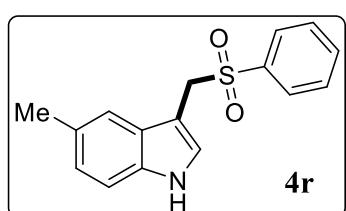
### **2-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole (**4q**)<sup>3</sup>**



Yield: 88%, yellow solid, M.P. 148-150 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 9.88 (s, 1H), 7.56 (d, *J* = 7.2 Hz, 2H), 7.48 (t, *J* = 7.4 Hz, 1H), 7.34 (t, *J* = 5.8 Hz, 2H), 7.18 (d, *J* = 8.0 Hz, 1H), 7.12 (d, *J* = 8.0 Hz, 1H), 6.96 (t, *J* = 7.2 Hz, 1H), 6.86 (t, *J* = 7.2 Hz, 1H), 4.39 (s, 2H), 1.99 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub> + CDCl<sub>3</sub>) δ 138.4, 136.3, 135.0, 133.4, 128.8, 128.6, 121.2, 119.7, 117.7, 110.5, 54.0, 11.3. HRMS (ESI-TOF): m/z calcd for C<sub>16</sub>H<sub>15</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 308.0716, found 308.0719.

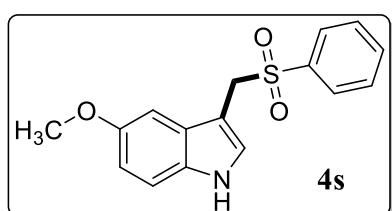
### **5-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole(**4r**)**



Yield: 86%, brown colour solid, M.P. 103-105 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.29 (s, 1H), 7.61 (d, *J* = 7.2 Hz, 2H), 7.46 (t, *J* = 7.1 Hz, 1H), 7.29 (t, *J* = 7.2 Hz, 2H), 7.11 (d, *J* = 8.0 Hz, 1H), 6.88-6.857 (m, 3H), 4.42 (s, 2H), 2.23 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 138.4, 134.1, 133.5, 129.5, 128.8, 128.7, 127.2, 126.1, 124.1, 118.1, 111.0, 102.1, 54.6, 21.4. HRMS (ESI-TOF): m/z calcd for C<sub>16</sub>H<sub>15</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 308.0723, found 308.0725. HRMS (ESI-TOF): m/z calcd for C<sub>16</sub>H<sub>15</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 308.0716, found 308.0725.

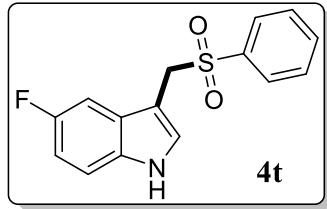
### **5-methoxy-3-((phenylsulfonyl)methyl)-1*H*-indole(**4s**)**



Yield: 90%, crystalline solid, M.P. 118-120 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.46 (s, 1H), 7.59 (d, *J* = 7.6 Hz, 2H), 7.45 (t, *J* = 7.6 Hz, 1H), 7.29 (t, *J* = 7.8 Hz, 2H), 7.10 (d, *J* = 8.8 Hz, 1H), 6.90 (d, *J* = 2.8 Hz, 1H), 6.69 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.56 (d, *J* = 2.4 Hz, 1H), 4.43 (s, 2H), 3.62 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 154.5, 138.3, 133.6, 130.8, 128.9, 128.7, 124.4, 126.6, 113.1, 112.2, 102.4, 99.8, 55.7, 54.6. HRMS (ESI-TOF): m/z calcd for C<sub>16</sub>H<sub>15</sub>NO<sub>3</sub>S [M+Na]<sup>+</sup> 324.0665, found 324.0666.

### **5-fluoro-3-((phenylsulfonyl)methyl)-1*H*-indole (**4t**)**

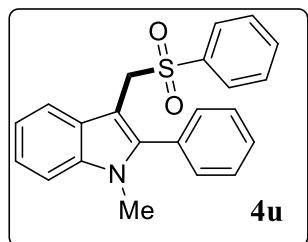


Yield: 89%, yellowish colour solid, M.P. 168-170 °C.

<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 10.89 (s, 1H), 7.62 (d, *J* = 7.2 Hz, 2H), 7.54 (t, *J* = 6.6 Hz, 1H), 7.39 (t, *J* = 7.2 Hz, 2H), 7.23 (dd, *J* = 8.8, 4.4 Hz, 1H), 7.02 (s, 1H), 6.95 (d, *J* = 9.6 Hz, 1H), 6.77 (t, *J* = 8.8 Hz, 1H), 4.46 (s, 2H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub> +

$\text{CDCl}_3$ )  $\delta$  158.8, 156.5, 138.6, 133.7, 132.9, 129.0, 128.6, 128.4, 112.7, 112.6, 110.2, 109.9, 103.6, 103.4, 54.32. HRMS (ESI-TOF): m/z calcd for  $\text{C}_{15}\text{H}_{12}\text{FNO}_2\text{S} [\text{M}+\text{Na}]^+$  312.0465, found 312.0468.

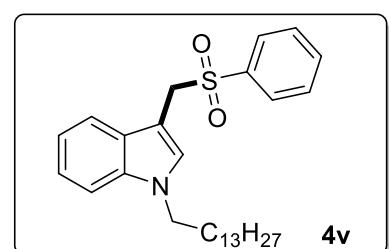
### 1-methyl-2-phenyl-3-((phenylsulfonyl)methyl)-1*H*-indole (**4u**)



Yield: 89%, crystalline solid, M.P. 179–181 °C.

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.51 – 7.47 (m, 4H), 7.33 – 7.25 (m, 6H), 7.21 – 7.16 (m, 1H), 7.06 (ddd,  $J$  = 8.0, 7.0, 1.1 Hz, 1H), 7.01 – 6.98 (m, 2H), 4.42 (s, 2H), 3.44 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  142.0, 139.0, 137.1, 133.3, 130.4, 130.1, 128.9, 128.7, 128.6, 128.5, 122.4, 120.5, 120.0, 109.5, 100.0, 54.6, 31.0. HRMS (ESI-TOF): m/z calcd for  $\text{C}_{22}\text{H}_{19}\text{NO}_2\text{S} [\text{M}+\text{Na}]^+$  384.1029, found 384.1040.

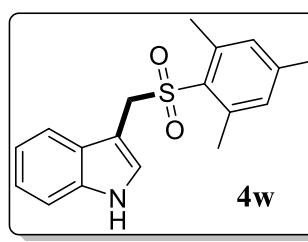
### 3-((phenylsulfonyl)methyl)-1-tetradecyl-1*H*-indole (**4v**)



Yield: 85%, white solid, M.P. 54–56 °C.

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.57 (dd,  $J$  = 8.4, 1.2 Hz, 2H), 7.43 (tt,  $J$  = 7.4, 1.2 Hz, 1H), 7.27 (t,  $J$  = 7.8 Hz, 2H), 7.21 – 7.16 (m, 2H), 7.10 – 7.05 (m, 1H), 6.92 (dd,  $J$  = 8.0, 0.8 Hz, 1H), 6.87 (s, 1H), 4.44 (s, 2H), 3.95 (t,  $J$  = 7.2 Hz, 2H), 1.067 (q,  $J$  = 7.2, 7.2 Hz, 2H), 1.17 (s, 22H), 0.81 (d,  $J$  = 6.8 Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  138.3, 136.0, 133.4, 129.3, 128.7, 127.6, 121.9, 119.8, 118.7, 109.6, 54.5, 46.5, 31.9, 30.2, 29.7, 29.5, 29.4, 29.2, 26.9, 22.7, 14.2. HRMS (ESI-TOF): m/z calcd for  $\text{C}_{29}\text{H}_{41}\text{NO}_2\text{S} [\text{M}+\text{Na}]^+$  490.2750, found 490.2745.

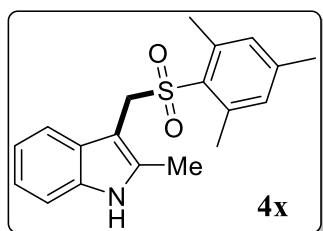
### 3-((mesitylsulfonyl)methyl)-1*H*-indole (**4w**)



Yield: 90%, red solid, M.P. 151–153 °C.

$^1\text{H}$  NMR (400 MHz,  $\text{DMSO}-d_6$ )  $\delta$  10.08 (s, 1H), 7.19 (d,  $J$  = 8.4 Hz, 1H), 7.11 (d,  $J$  = 8.4 Hz, 1H), 6.94 (s, 1H), 6.86 – 6.77 (m, 2H), 6.68 (s, 2H), 4.36 (s, 2H), 2.24 (s, 6H), 2.10 (s, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{DMSO}-d_6 + \text{CDCl}_3$ )  $\delta$  142.9, 140.3, 136.0, 132.4, 131.8, 131.5, 127.1, 126.3, 121.6, 119.5, 118.2, 111.5, 53.9, 22.7, 20.8. HRMS (ESI-TOF): m/z calcd for  $\text{C}_{18}\text{H}_{19}\text{NO}_2\text{S} [\text{M}+\text{Na}]^+$  336.1036, found 336.1021.

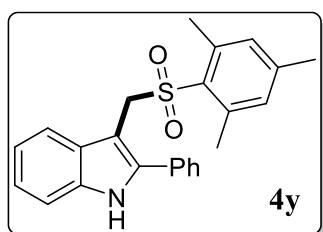
### **3-((mesitylsulfonyl)methyl)-2-methyl-1*H*-indole (4x)**



Yield: 88%, red colour solid, M.P. 140-142 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.91 (s, 1H), 7.15 (d, *J* = 8.0 Hz, 1H), 7.07 (d, *J* = 8.0 Hz, 1H), 7.00 (t, *J* = 8.2 Hz, 1H), 6.87 (t, *J* = 7.4 Hz, 1H), 6.75 (s, 2H), 4.39 (s, 2H), 2.31 (s, 6H), 2.18 (s, 3H), 2.07 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 143.1, 140.8, 135.9, 134.8, 132.8, 131.9, 128.4, 121.6, 120.1, 117.9, 110.2, 53.1, 22.8, 21.0, 11.5. HRMS (ESI-TOF): m/z calcd for C<sub>19</sub>H<sub>21</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 350.1185, found 350.1185.

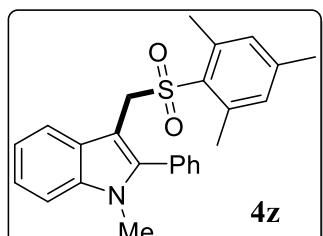
### **3-((mesitylsulfonyl)methyl)-2-phenyl-1*H*-indole (4y)**



Yield: 86%, white solid, M.P. 173-175 °C.

<sup>1</sup>H NMR (400 MHz, DMSO-d<sub>6</sub>) δ 11.02 (s, 1H), 7.68 (d, *J* = 7.2 Hz, 1H), 7.49 (d, *J* = 7.6 Hz, 1H), 7.33 – 7.26 (m, 5H), 7.06 (t, *J* = 7.2 Hz, 1H), 6.97 (t, *J* = 7.2 Hz, 1H), 6.64 (s, 2H), 4.59 (s, 2H), 3.18 (s, 6H), 2.16 (s, 3H). <sup>13</sup>C NMR (100 MHz, DMSO-d<sub>6</sub>+ CDCl<sub>3</sub>) δ 142.9, 140.3, 139.4, 136.0, 132.7, 132.0, 128.9, 128.5, 128.4, 128.1, 122.1, 119.8, 119.6, 111.4, 53.2, 22.6, 20.9. HRMS (ESI-TOF): m/z calcd for C<sub>24</sub>H<sub>23</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 412.1342, found 412.1340.

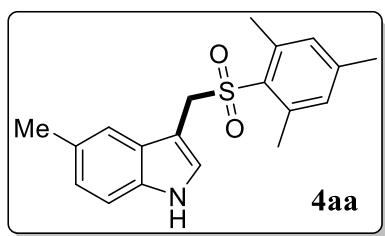
### **3-((mesitylsulfonyl)methyl)-1-methyl-2-phenyl-1*H*-indole (4z)**



Yield: 85%, yellow crystalline solid, M.P. 161-163 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.62 (d, *J* = 8.0 Hz, 1H), 7.33 – 7.23 (m, 4H), 7.21 – 7.17 (m, 1H), 7.09 (ddd, *J* = 8.0, 7.0, 1.1 Hz, 1H), 7.03 – 6.99 (m, 2H), 6.67 (s, 2H), 4.42 (s, 2H), 3.45 (s, 3H), 2.19 (s, 3H), 2.13 (s, 6H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 142.7, 142.0, 140.9, 137.0, 133.2, 130.5, 130.2, 128.6, 128.4, 127.7, 122.3, 120.4, 120.1, 109.4, 100.0, 53.5, 31.0, 22.6, 21.0. HRMS (ESI-TOF): m/z calcd for C<sub>25</sub>H<sub>25</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 426.1498, found 426.1495.

### **3-((mesitylsulfonyl)methyl)-5-methyl-1*H*-indole (4aa)**

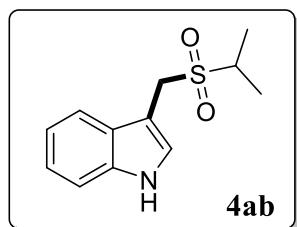


Yield: 87%, pale pink colour solid, M.P. 125-127 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.17 (s, 1H), 7.12 (d, *J* = 8.0 Hz, 1H), 6.92 (d, *J* = 2.4 Hz, 1H), 6.87 (dd, *J* = 8.4, 1.6 Hz, 1H), 6.75 (s, 2H), 6.73 (s, 1H), 4.42 (s, 2H), 2.32 (s, 6H), 2.21 (s, 3H), 2.19 (s, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 143.1,

140.8, 134.0, 132.6, 131.9, 129.3, 127.3, 126.0, 124.0, 118.0, 110.8, 102.4, 54.0, 22.89, 21.4, 21.0. HRMS (ESI-TOF): m/z calcd for C<sub>19</sub>H<sub>21</sub>NO<sub>2</sub>S [M+Na]<sup>+</sup> 350.1185, found 350.1181.

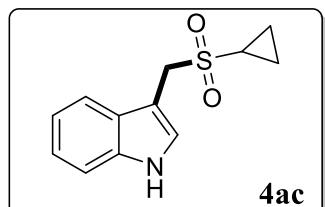
### 3-((isopropylsulfonyl)methyl)-1H-indole (4ab)



Yield: 68%, yellow white solid, M.P. 150-152 °C.

<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) δ 11.26 (s, 1H), 7.68 (d, *J* = 7.9 Hz, 1H), 7.44 – 7.38 (m, 2H), 7.12 (t, *J* = 7.6 Hz, 1H), 7.04 (t, *J* = 7.5 Hz, 1H), 4.57 (s, 2H), 3.15 (m, *J* = 6.8 Hz, 1H), 1.26 (d, *J* = 6.9 Hz, 6H). <sup>13</sup>C NMR (100 MHz, DMSO-*d*<sub>6</sub>) δ 136.50, 127.77, 127.33, 121.85, 119.66, 119.50, 112.06, 101.55, 50.60, 47.52, 15.46. HRMS (ESI-TOF): m/z calcd for C<sub>12</sub>H<sub>15</sub>NO<sub>2</sub>S [M+H]<sup>+</sup> 238.0896, found 238.0915.

### 3-((cyclopropylsulfonyl)methyl)-1H-indole (4ac)



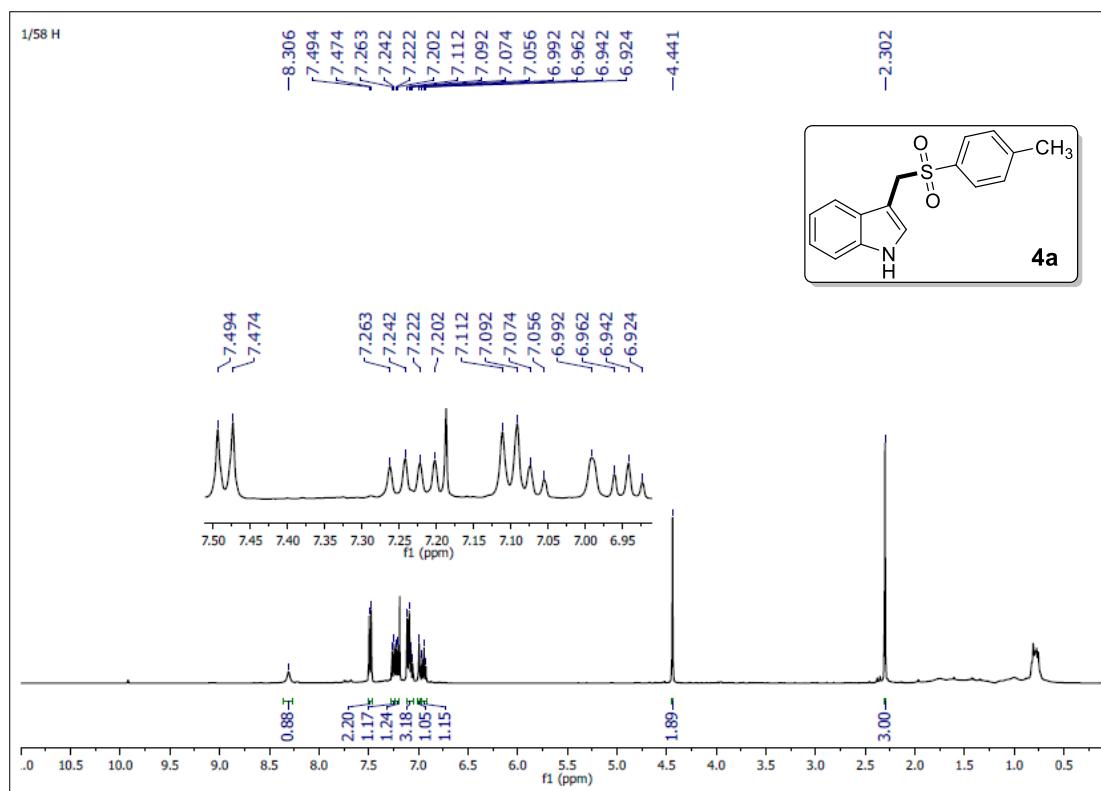
Yield: 65%, yellow white solid, M.P. 142-144 °C.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.41 (s, 1H), 7.61 (d, *J* = 7.8 Hz, 1H), 7.33 (d, *J* = 8.0 Hz, 1H), 7.28 (d, *J* = 2.6 Hz, 1H), 7.19 – 7.09 (m, 2H), 4.41 (s, 2H), 2.14 (tt, *J* = 8.1, 4.9 Hz, 1H), 1.10 (tt, *J* = 5.6, 3.2 Hz, 2H), 0.84 – 0.79 (m, 2H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 135.97, 127.09, 125.94, 122.69, 120.49, 118.46, 111.63, 102.79, 51.42, 28.13, 4.90. HRMS (ESI-TOF): m/z calcd for C<sub>12</sub>H<sub>13</sub>NO<sub>2</sub>S [M+H]<sup>+</sup> 236.0746, found 236.0756.

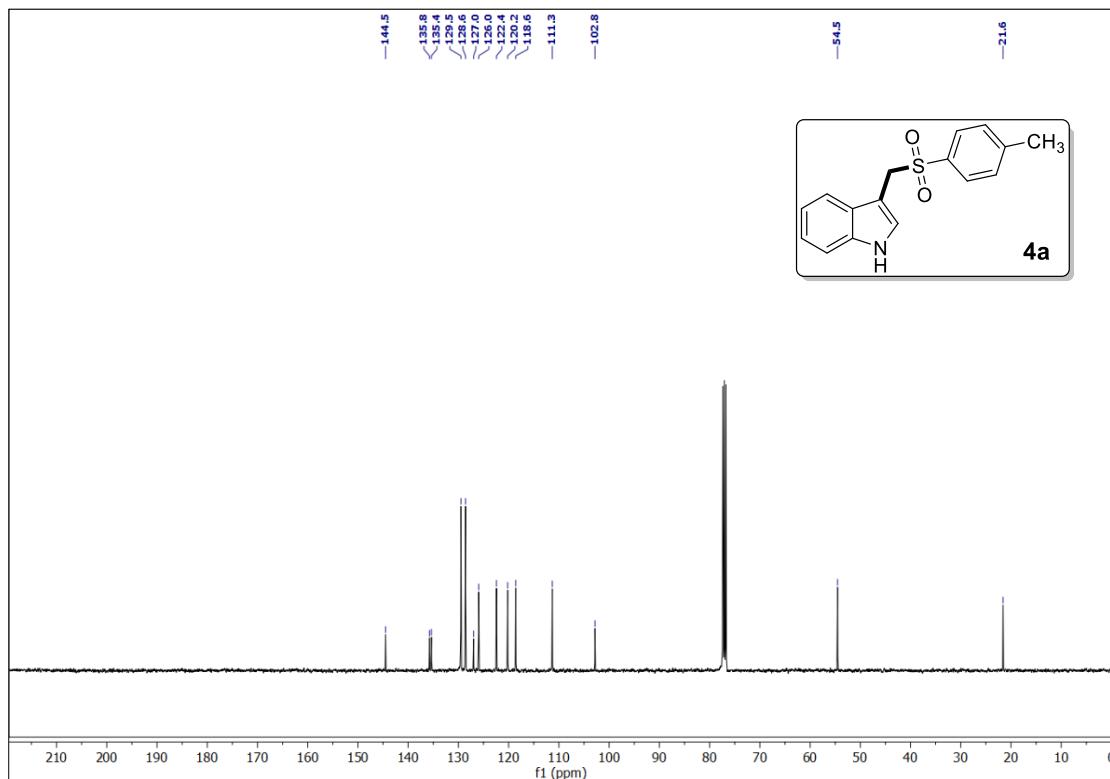
## 5. References:

1. Lu, S.; Zhu, Y-S.; Yan, K-X.; Cui, T-W.; Zhu, X.; Hao, X-Q; Song, M-P. *Synlett* 2019, **30**, 1924-1928.
2. Lu, S.; Zhu, X.; Li, K.; Guo, Y-J.; Wang, M-D.; Zhao, X-M.; Hao, X-Q.; Song, M-P. *J. Org. Chem.* 2016, **18**, 8370-8377.
3. Gui, J. H.; Zhou, Q. H.; Pan, C. M.; Yabe, Y.; Burns, A. C.; Collins, M. R.; Ornelas, M. A.; Ishihara, Y.; Baran, P. S. *J. Am. Chem. Soc.* 2014, **136**, 4853-4856.

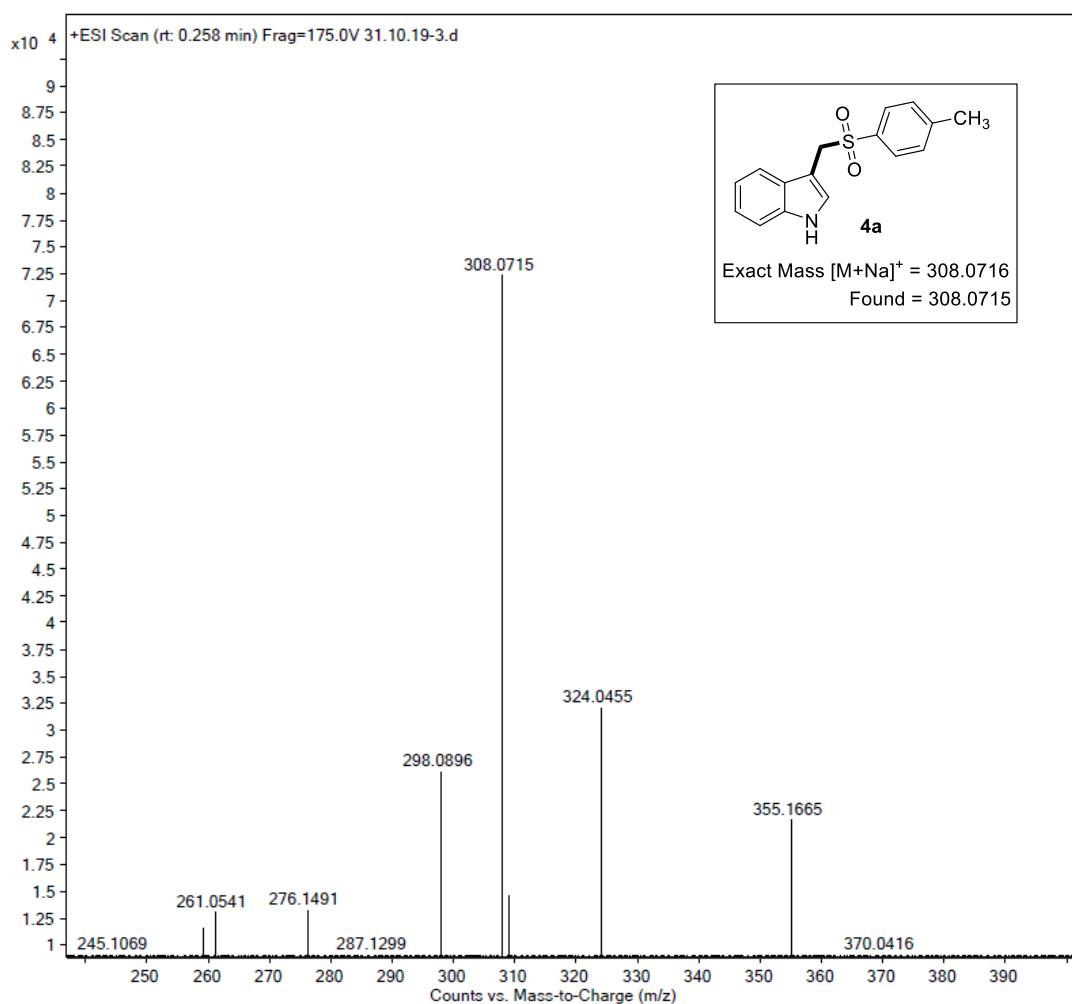
**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ) of 3-(tosylmethyl)-1*H*-indole (4a)**



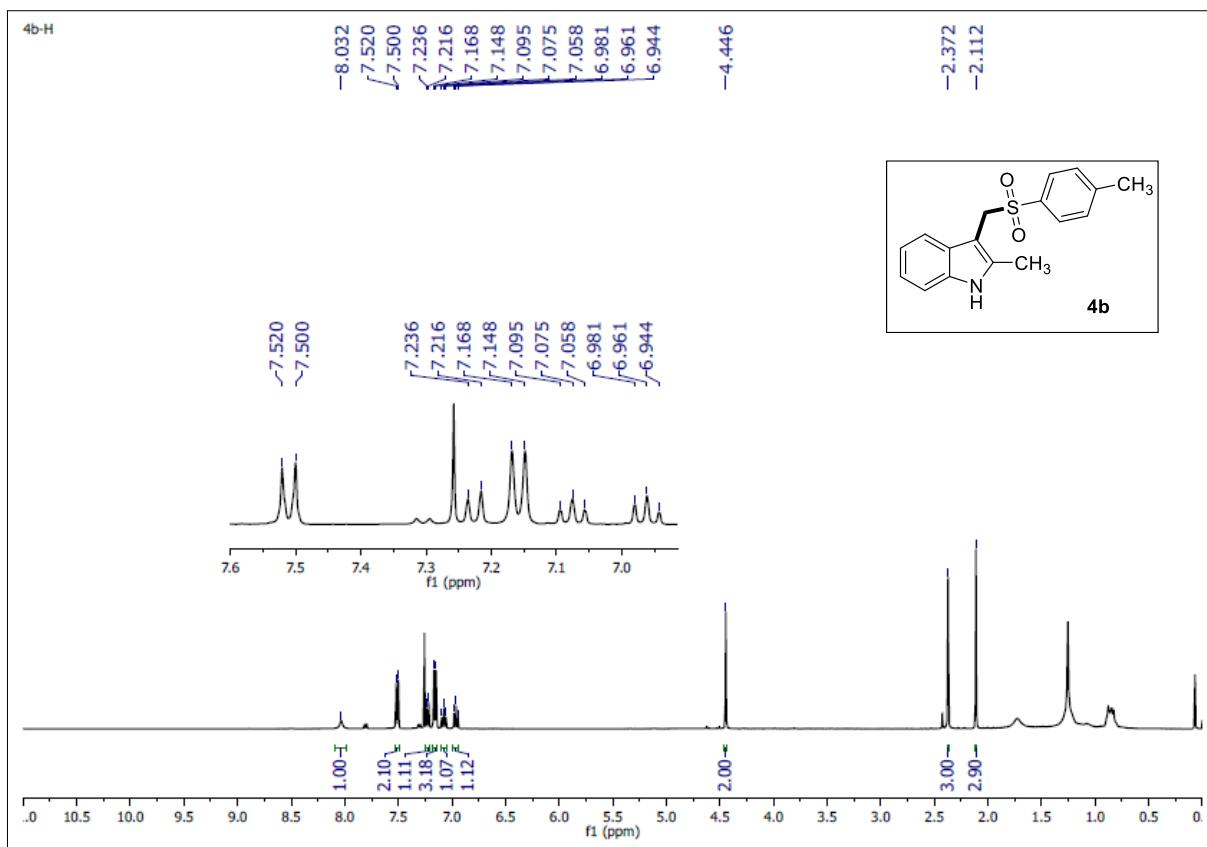
**$^{13}\text{C}\{^1\text{H}\}$  NMR (100 MHz,  $\text{CDCl}_3$ ) of 3-(tosylmethyl)-1*H*-indole (4a)**



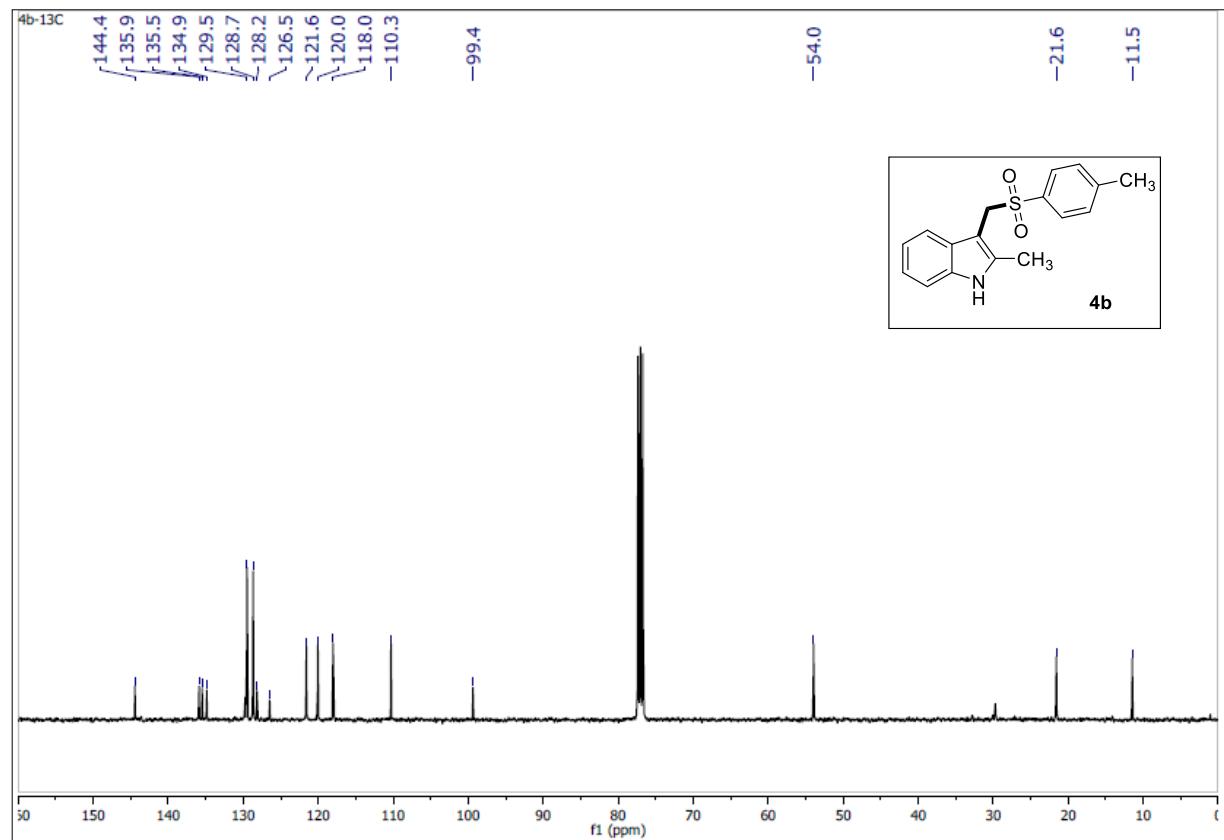
**Mass spectrum of 3-(tosylmethyl)-1*H*-indole (4a)**



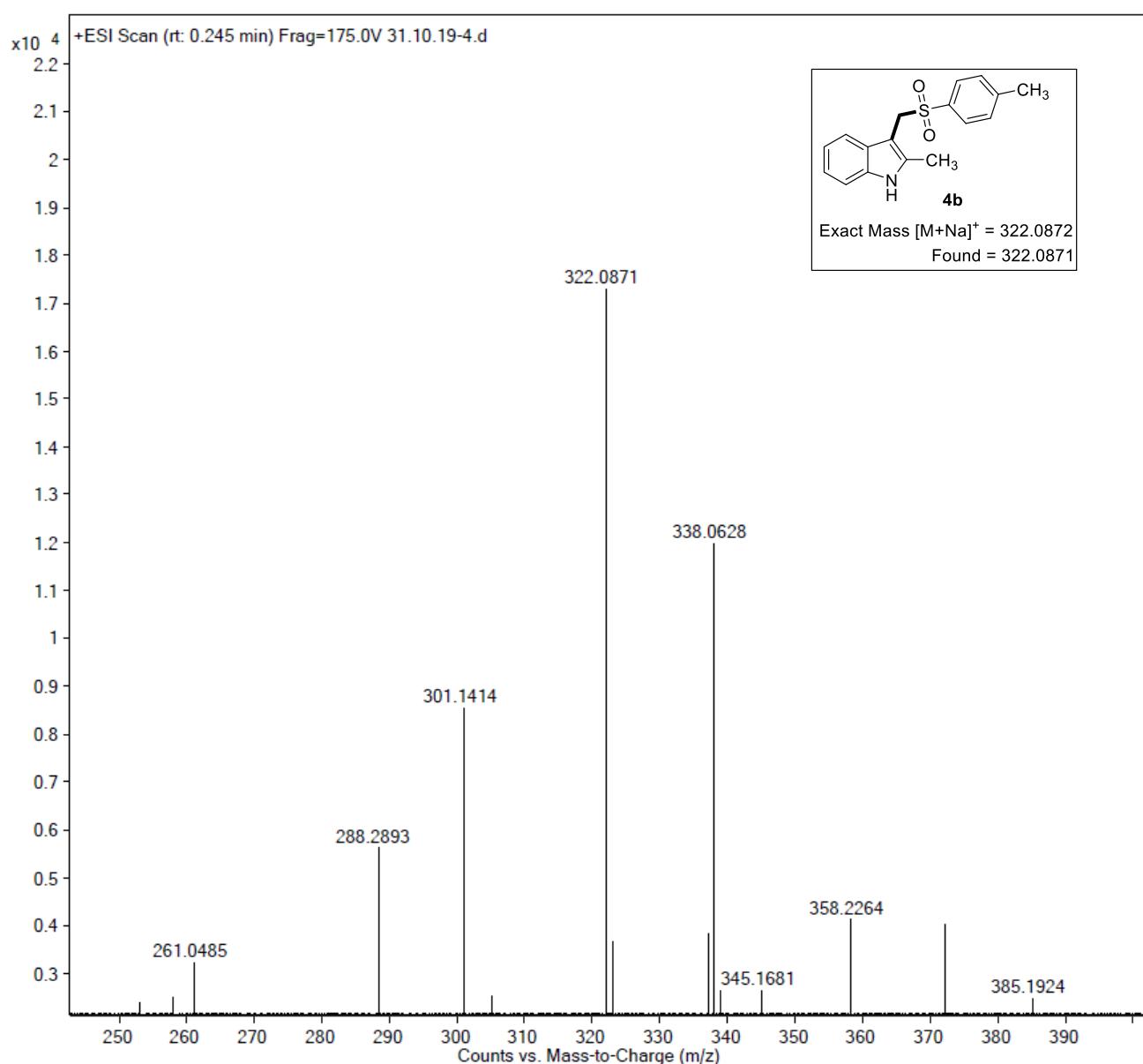
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 2-methyl-3-(tosylmethyl)-1*H*-indole (4b)**



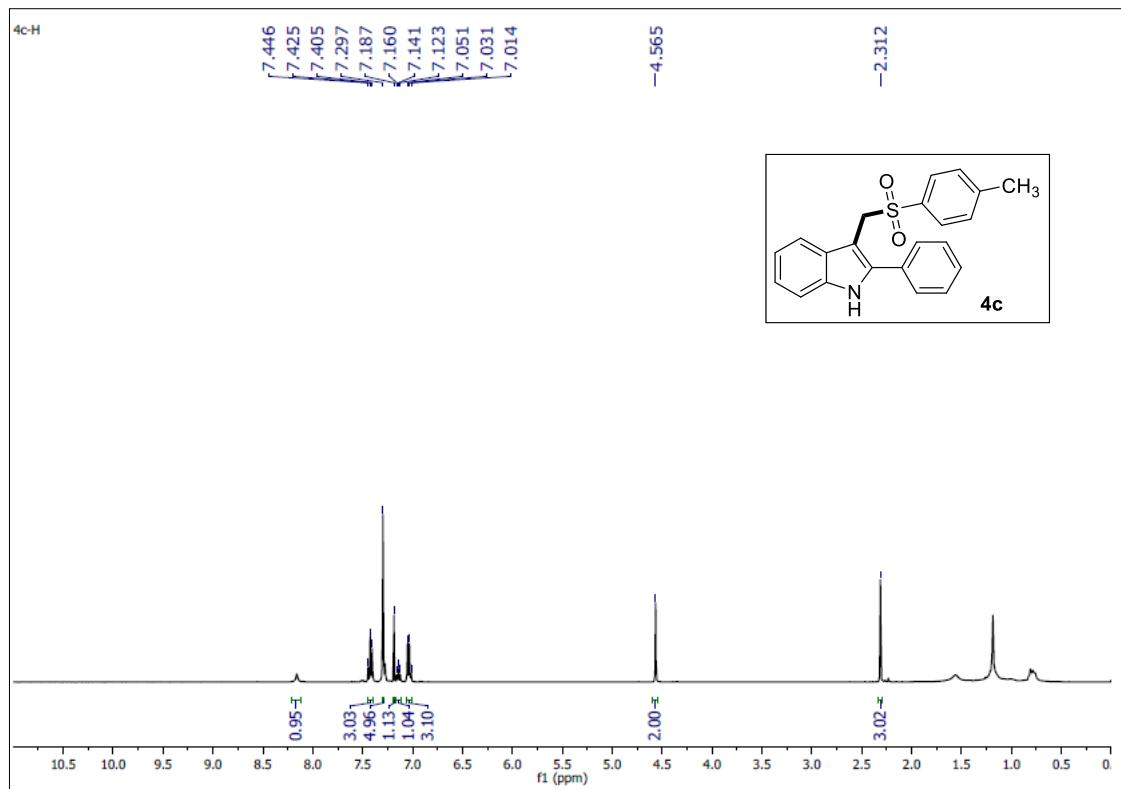
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 2-methyl-3-(tosylmethyl)-1*H*-indole (4b)**



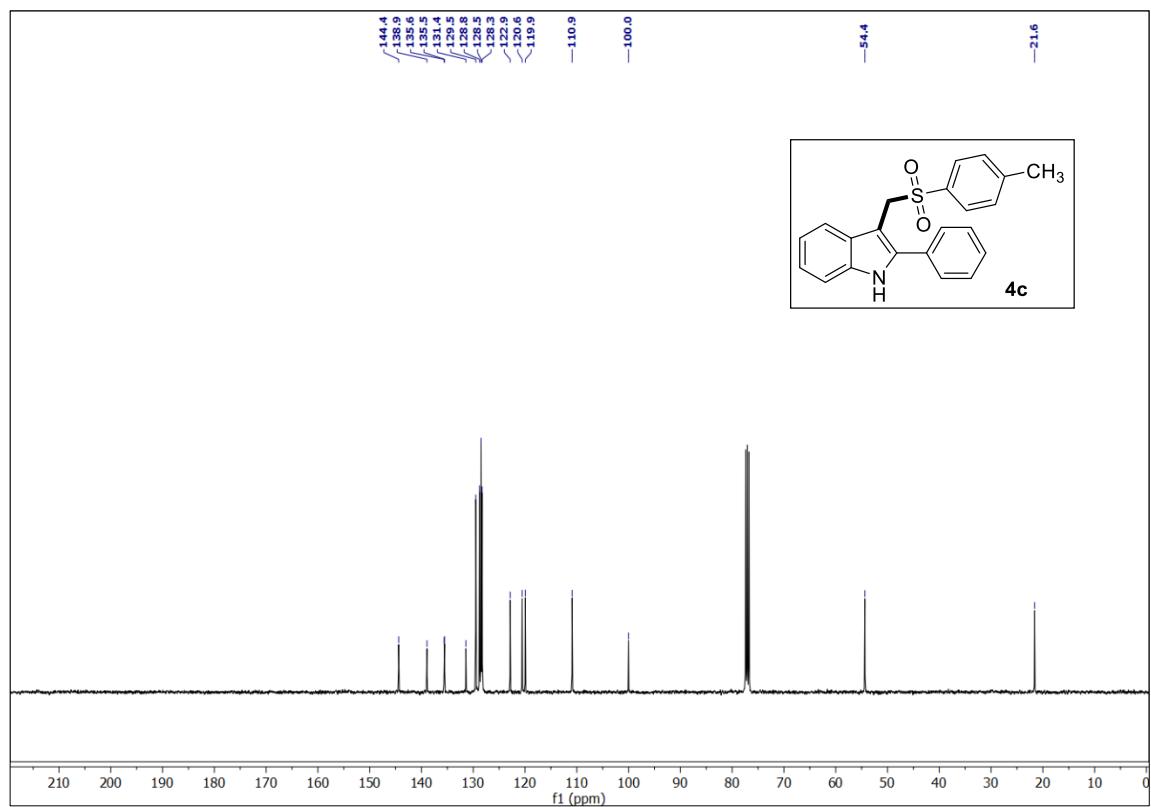
**Mass spectrum of 2-methyl-3-(tosylmethyl)-1*H*-indole (**4b**)**



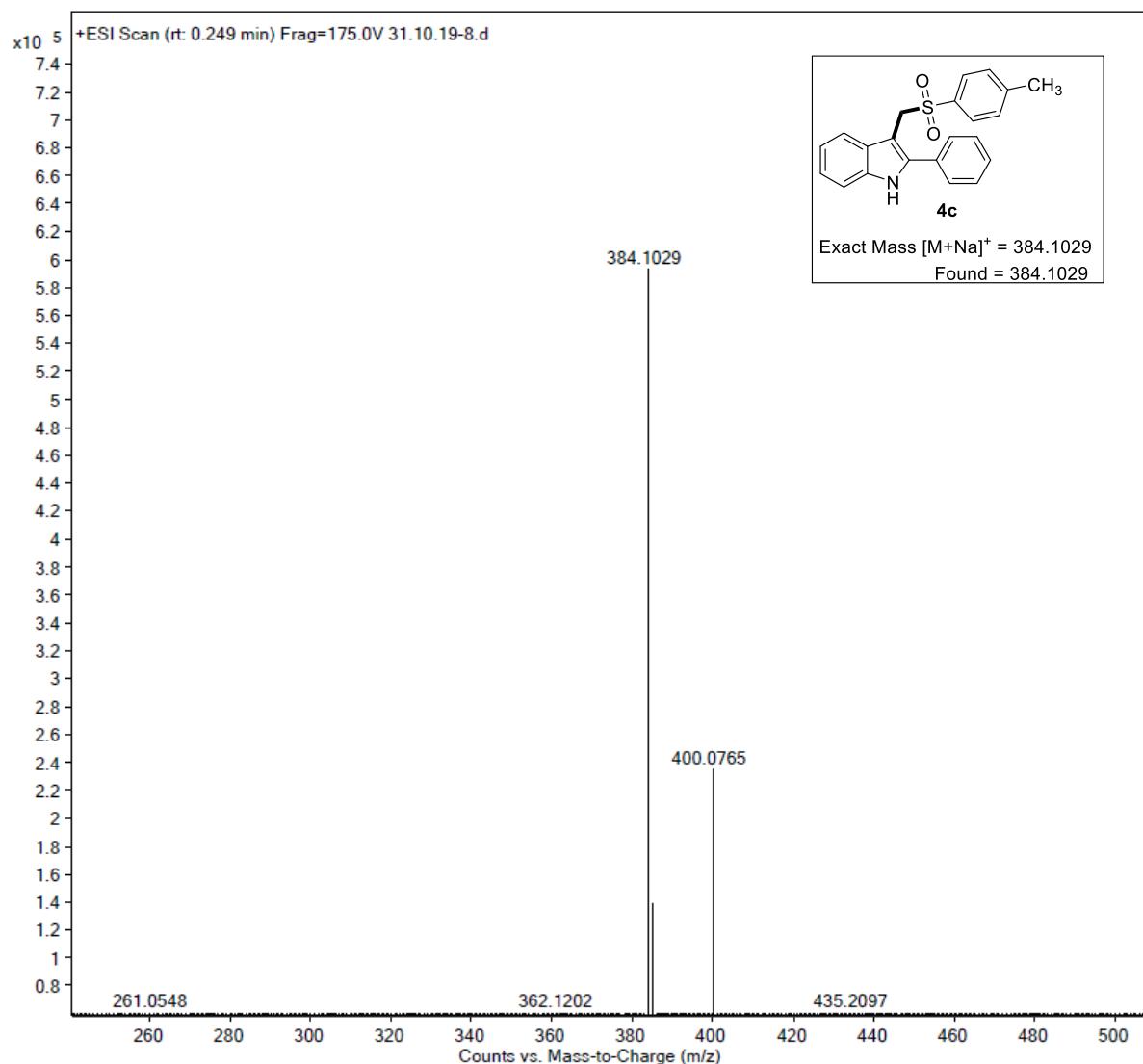
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 2-phenyl-3-(tosylmethyl)-1*H*-indole (4c)**



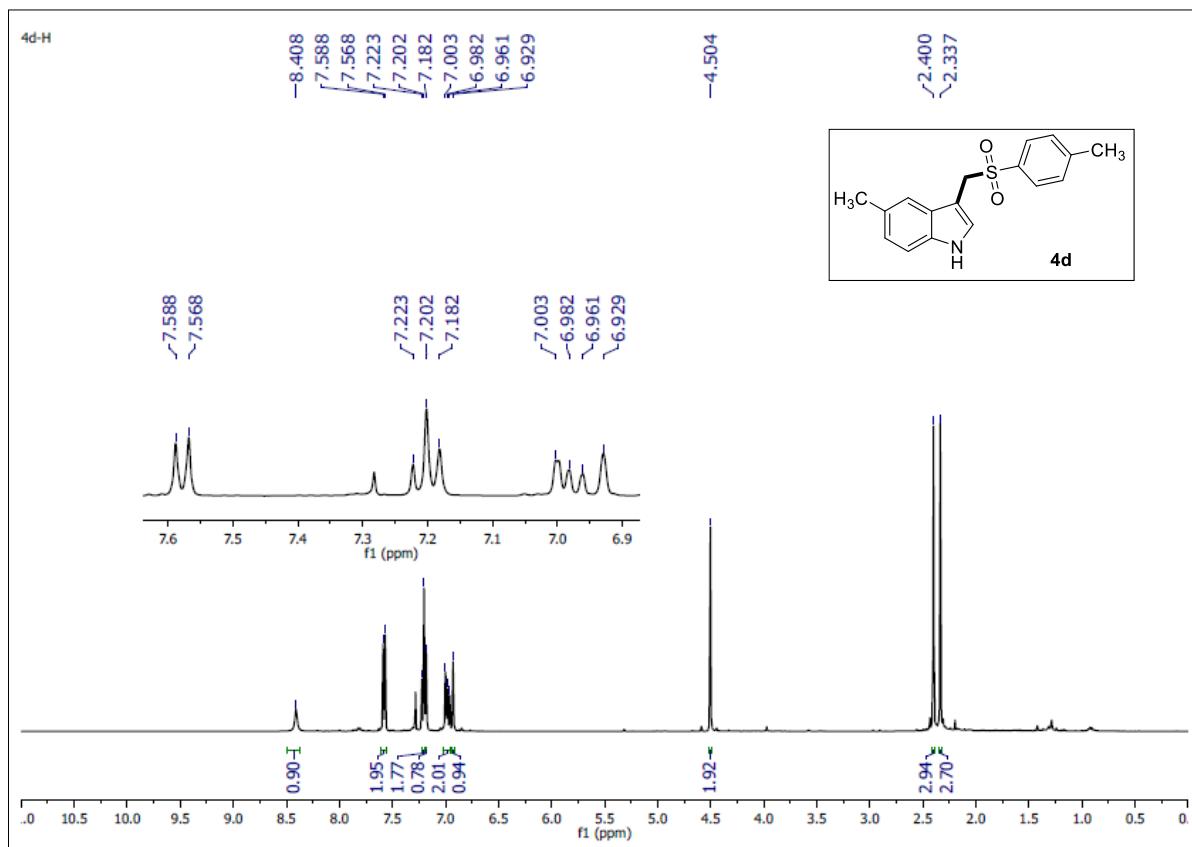
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 2-phenyl-3-(tosylmethyl)-1*H*-indole (4c)**



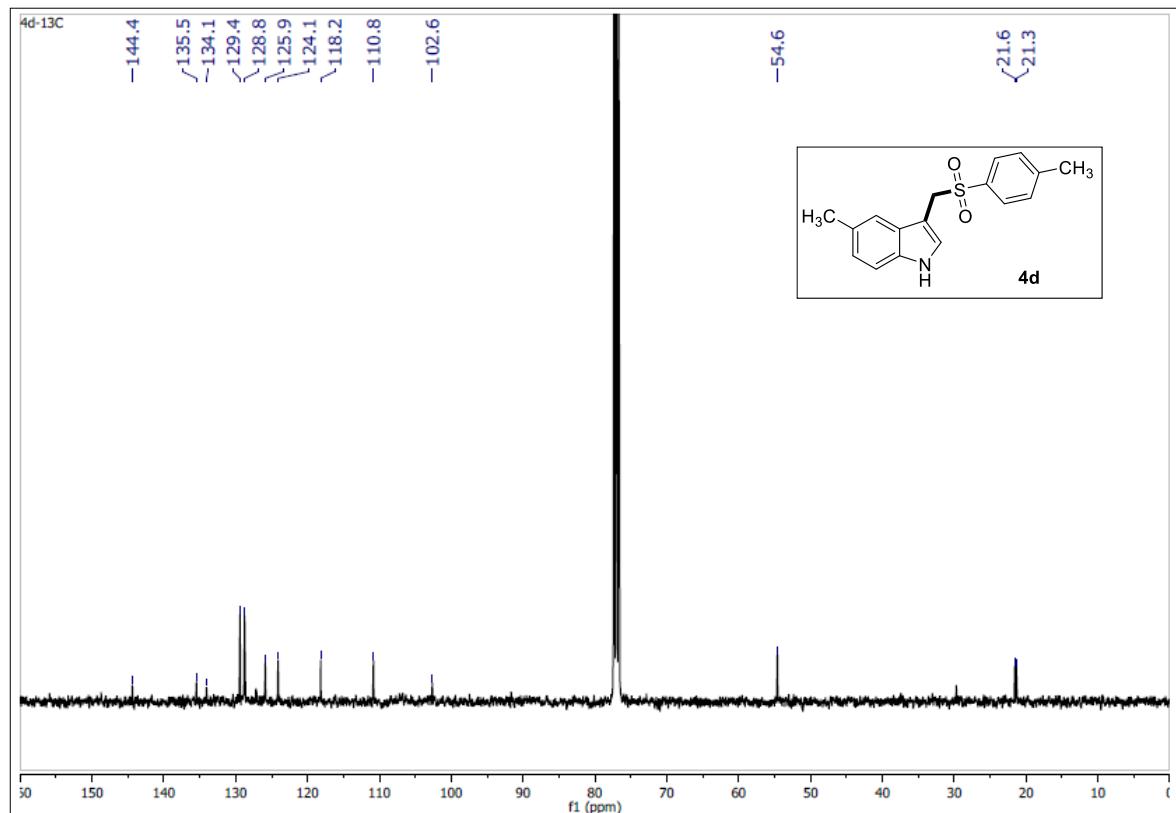
**Mass spectrum of 2-phenyl-3-(tosylmethyl)-1*H*-indole (4c)**



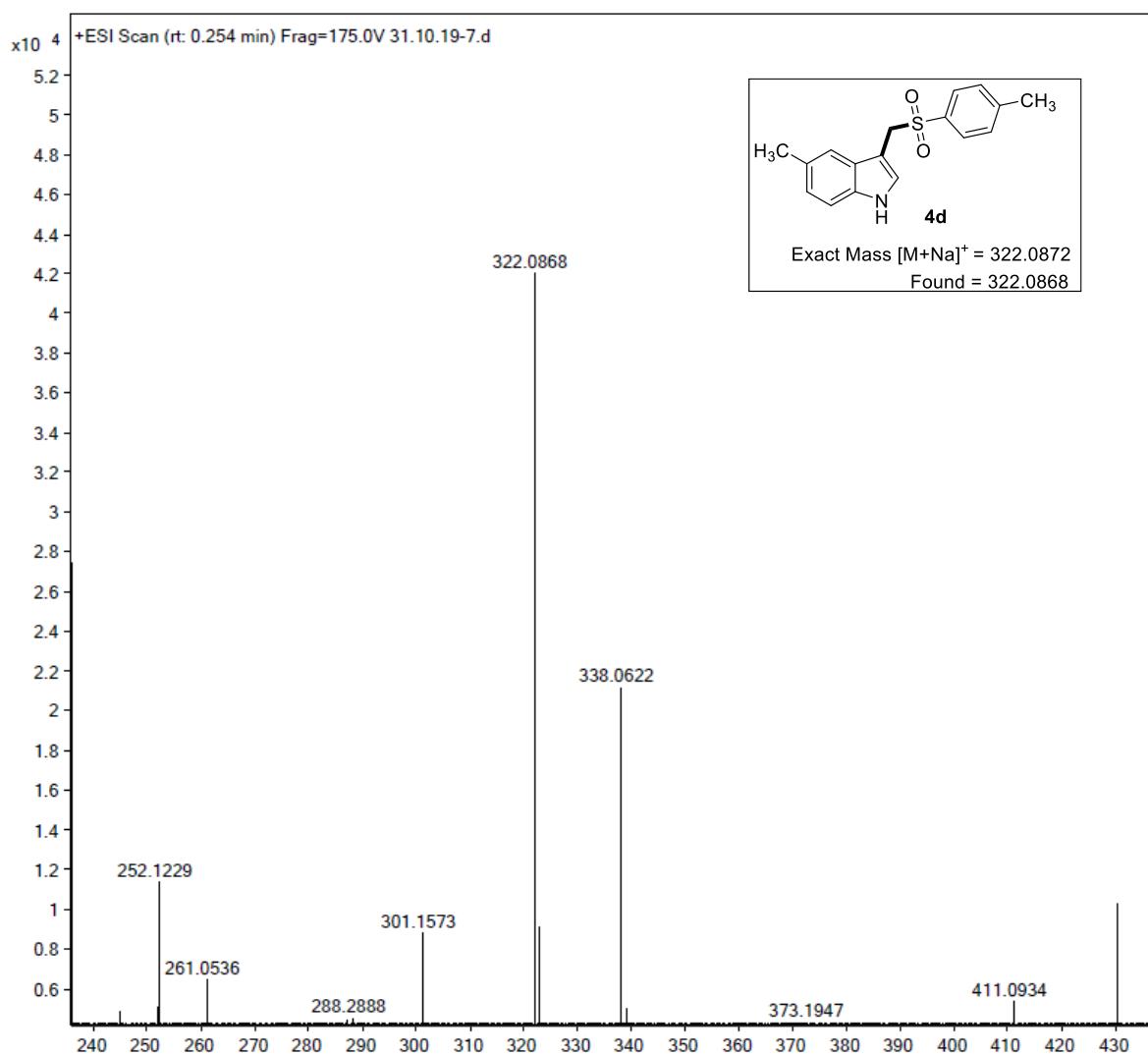
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 5-methyl-3-(tosylmethyl)-1*H*-indole (4d)**



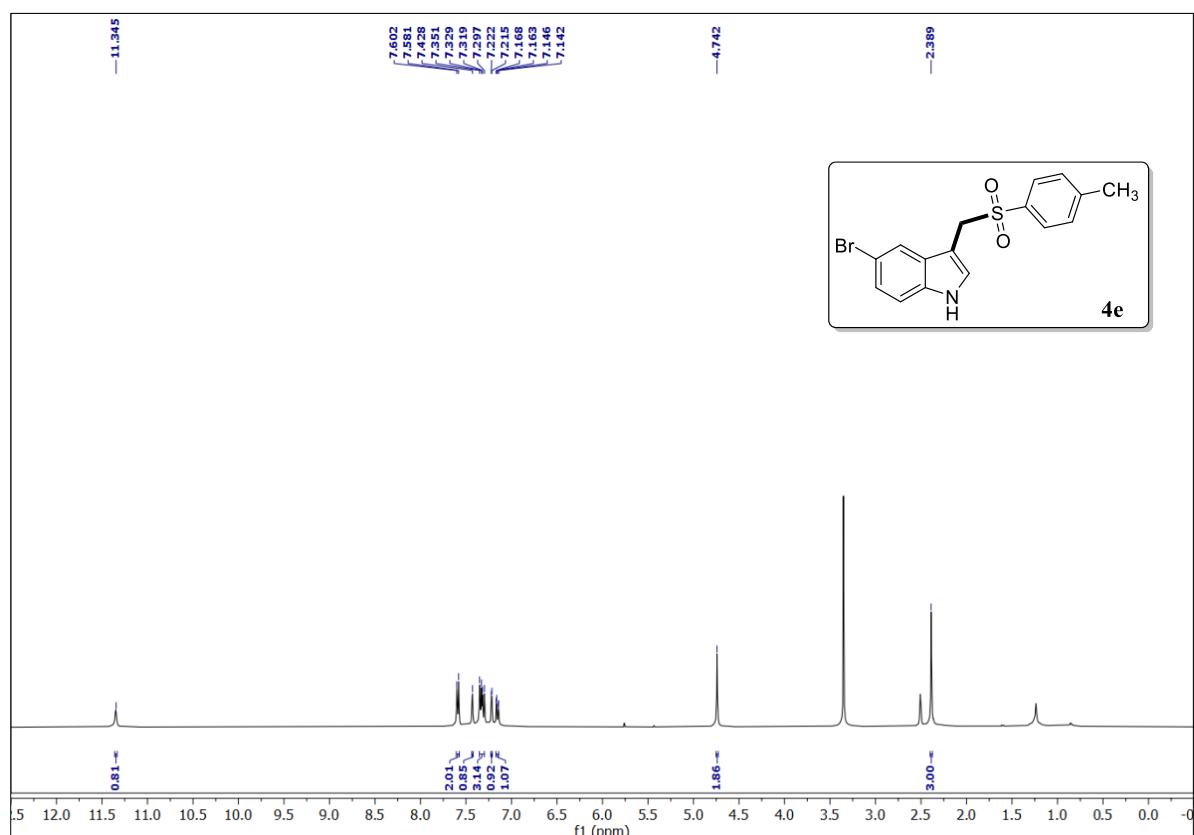
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 5-methyl-3-(tosylmethyl)-1*H*-indole (4d)**



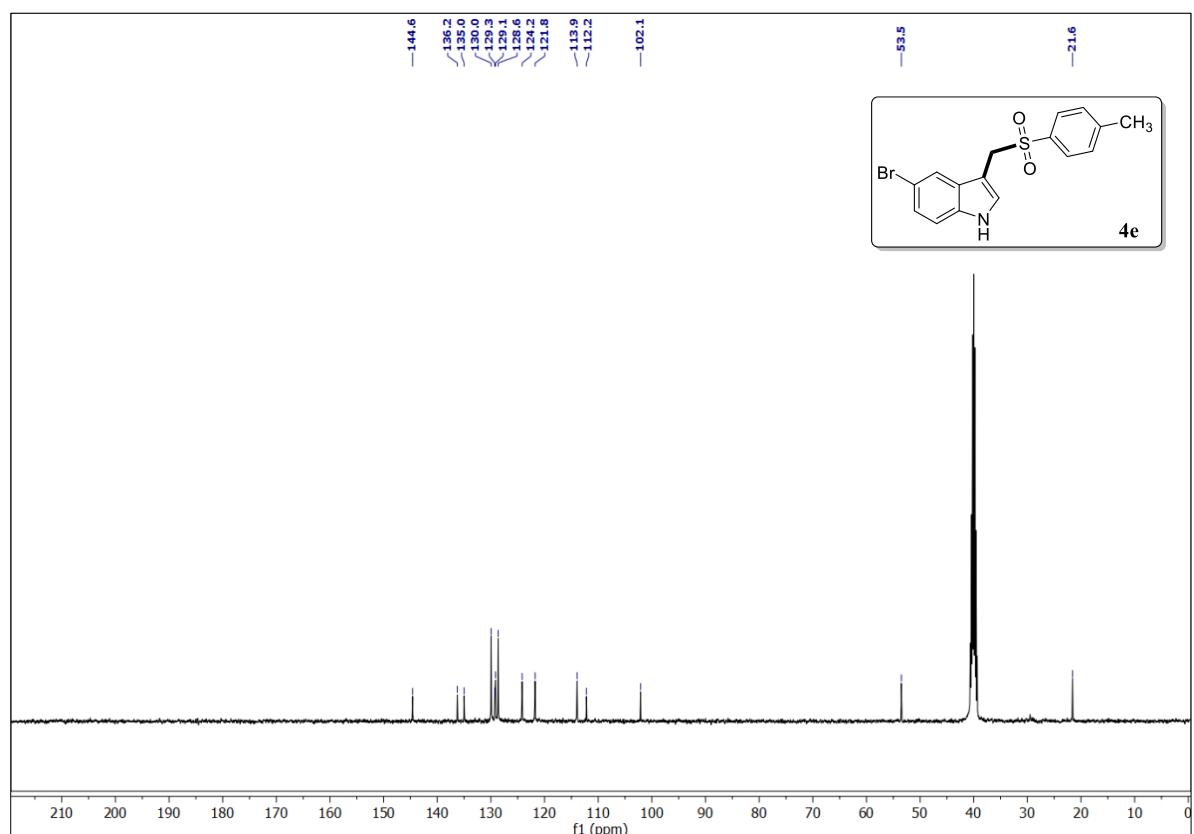
**Mass spectrum of 5-methyl-3-(tosylmethyl)-1*H*-indole (**4d**)**



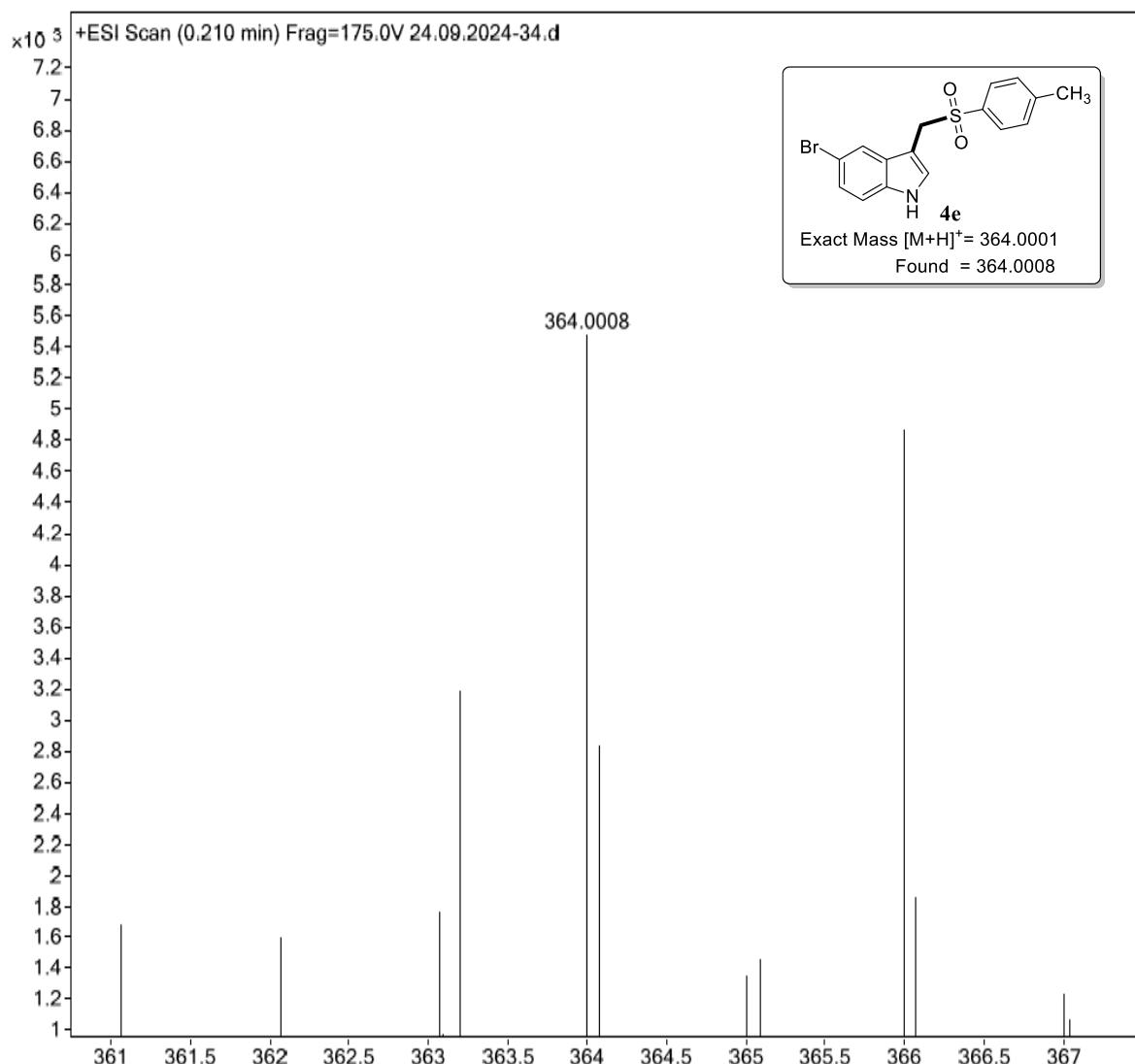
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of 5-bromo-3-(tosylmethyl)-1*H*-indole (4e)**



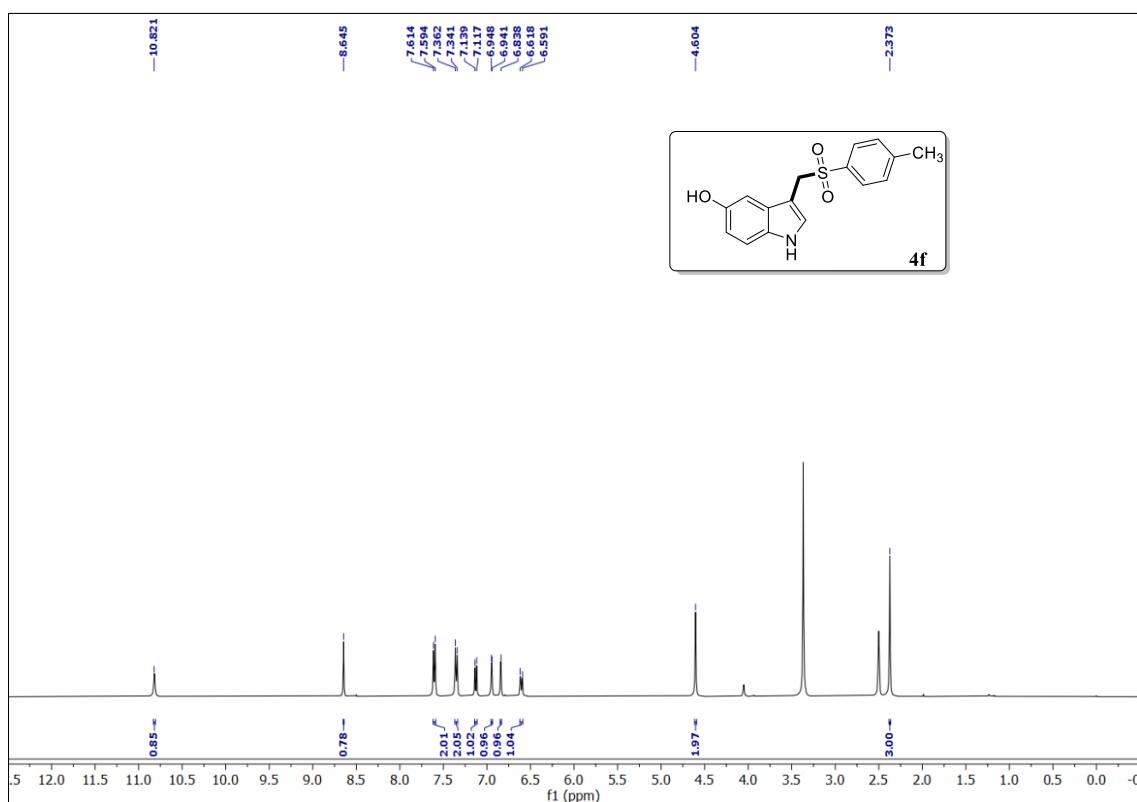
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub>) of 5-bromo-3-(tosylmethyl)-1*H*-indole (4e)**



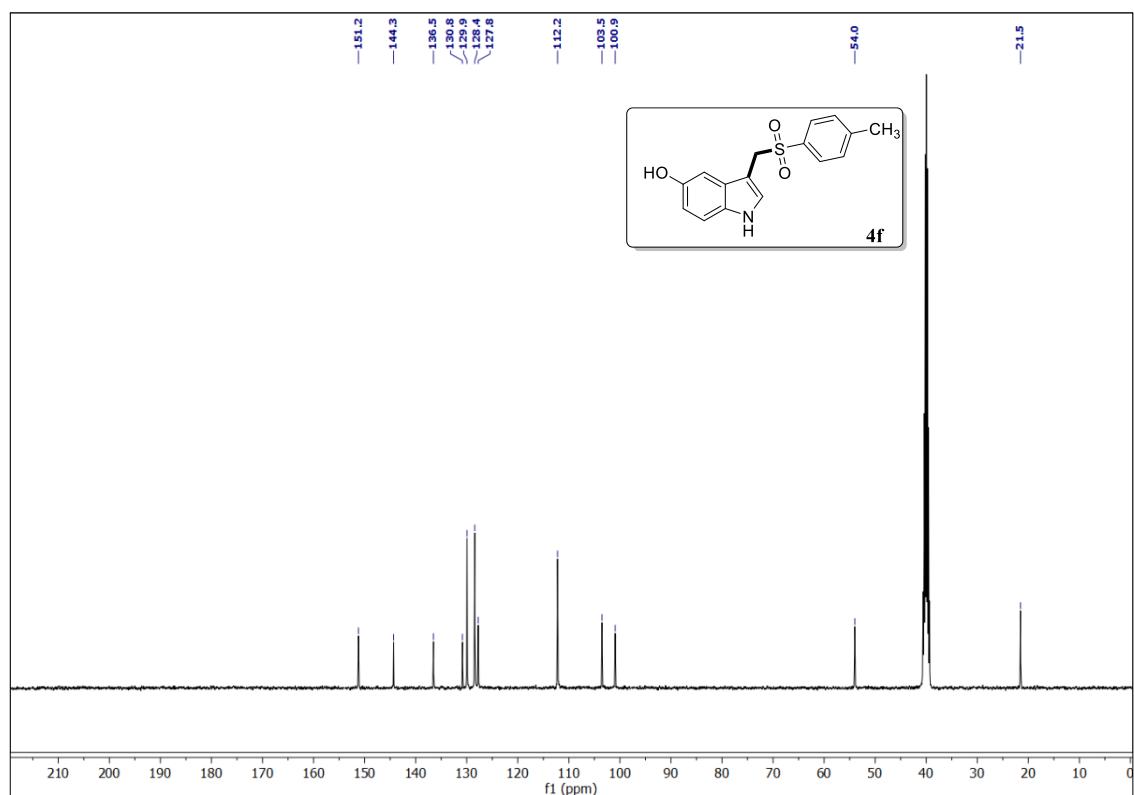
**Mass spectrum of 5-bromo-3-(tosylmethyl)-1*H*-indole (4e)**



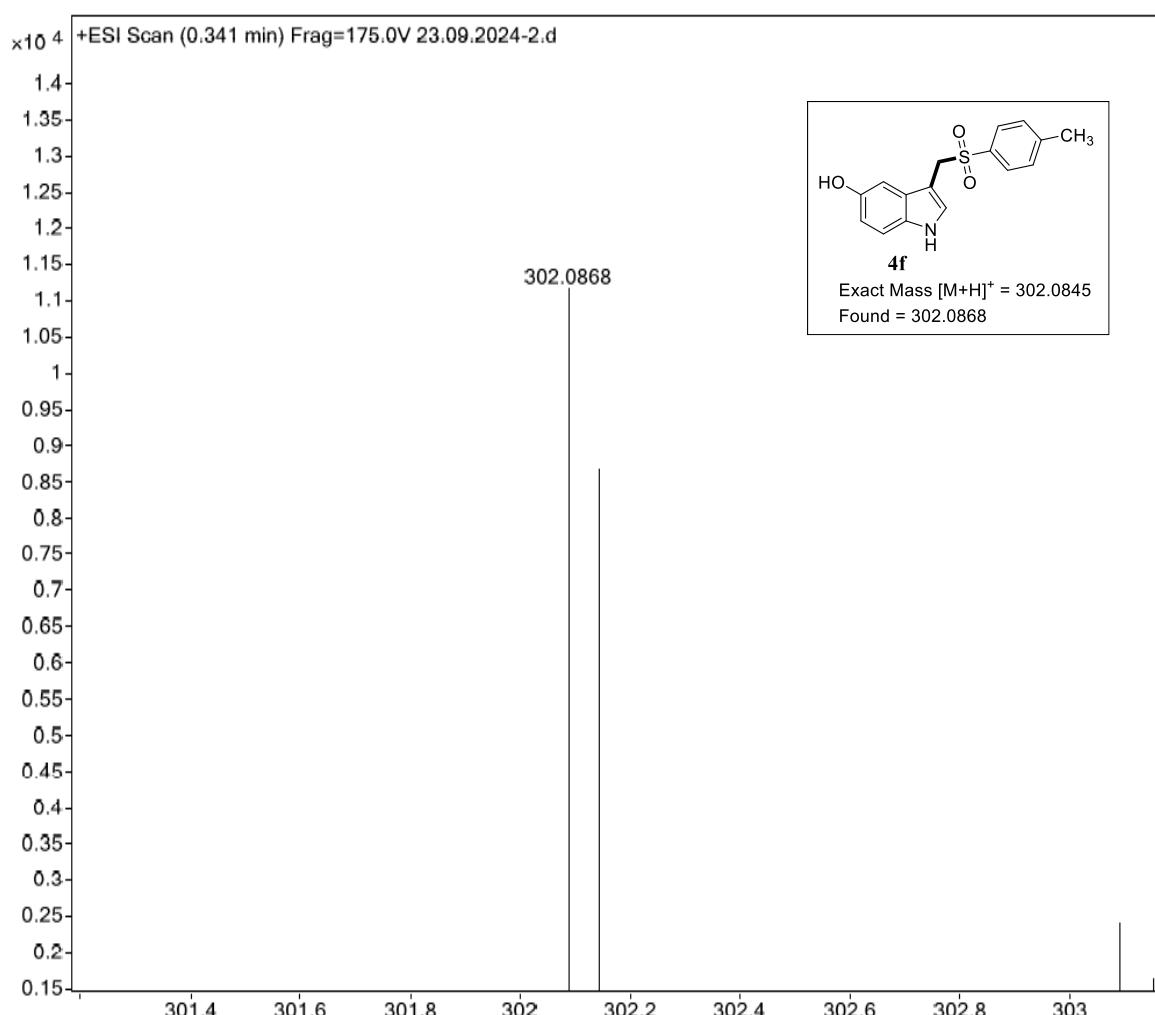
**$^1\text{H}$  NMR (400 MHz, DMSO-*d*<sub>6</sub>) of 3-(tosylmethyl)-1*H*-indol-5-ol (4f)**



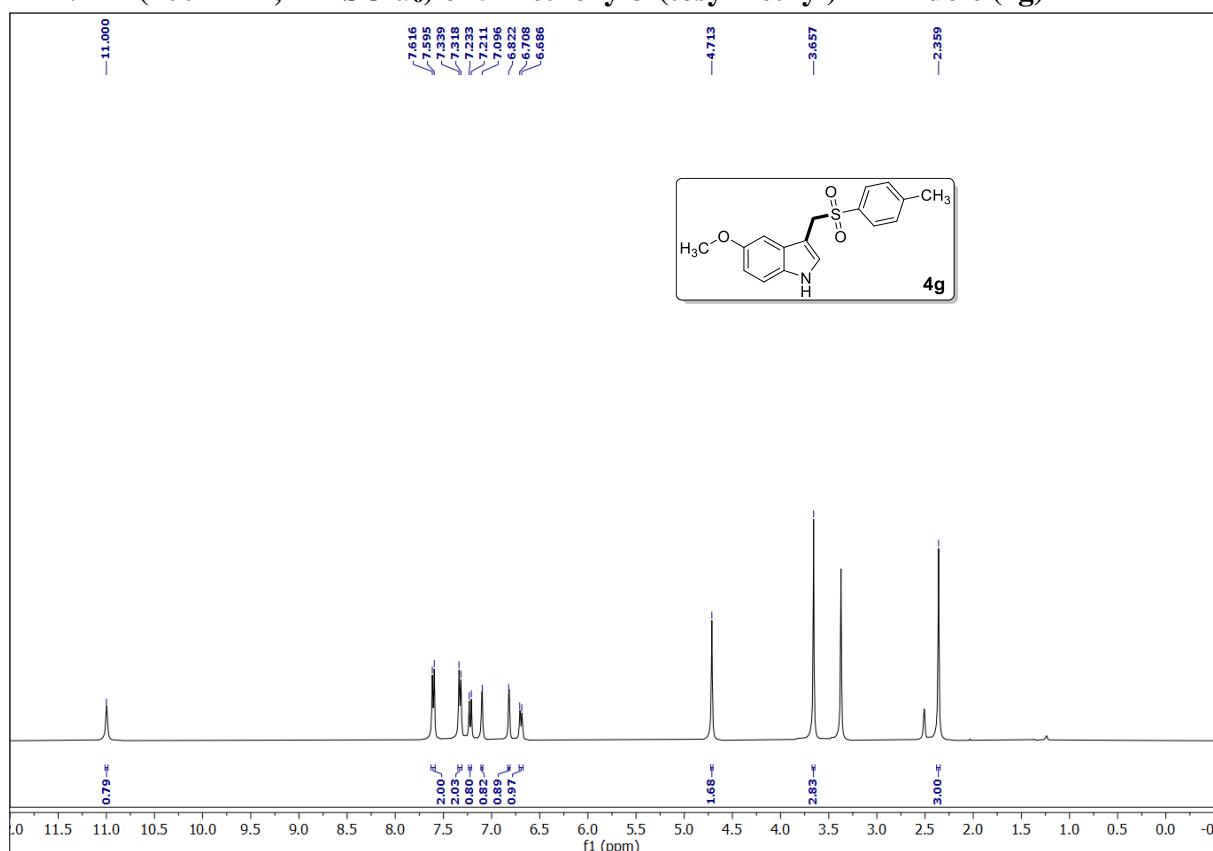
**$^{13}\text{C}\{^1\text{H}\}$  NMR (100 MHz, DMSO-*d*<sub>6</sub>) of 3-(tosylmethyl)-1*H*-indol-5-ol (4f)**



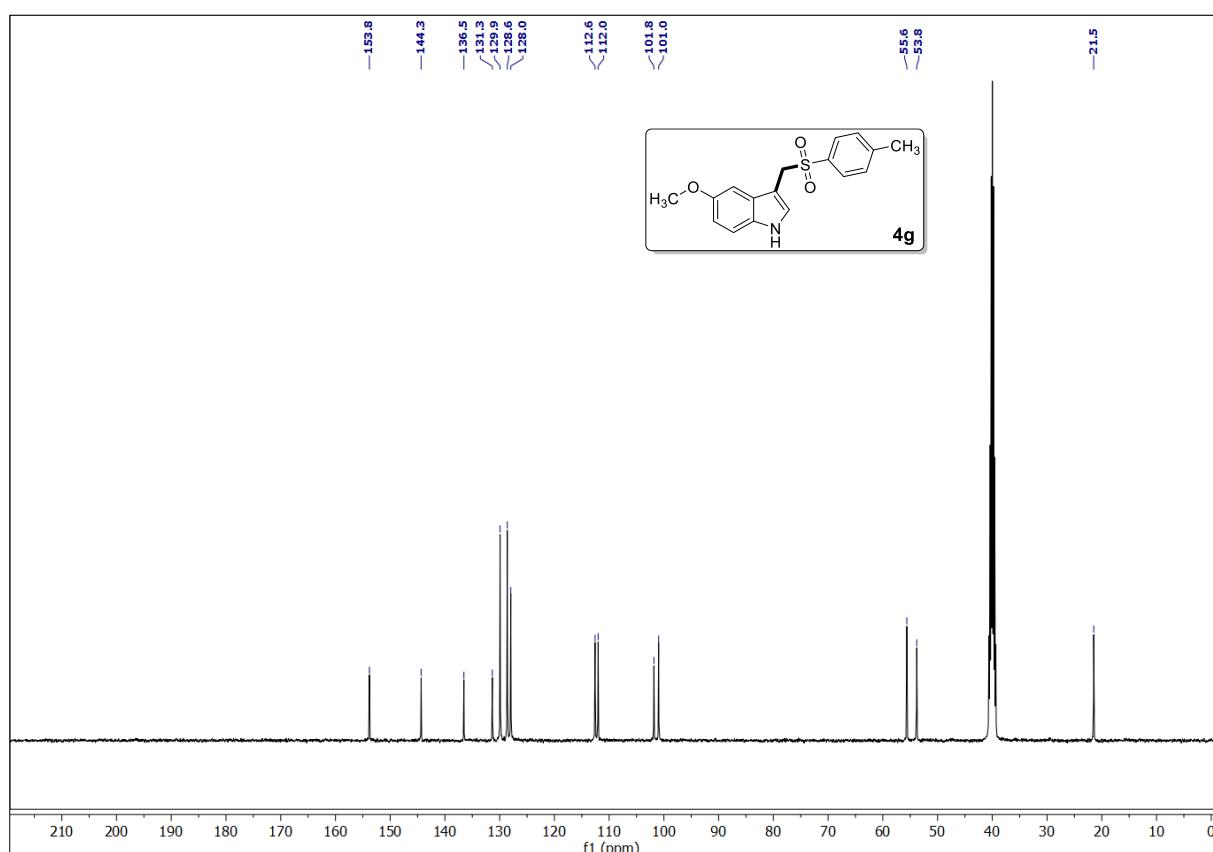
**Mass spectrum of 3-(tosylmethyl)-1*H*-indol-5-ol (**4f**)**



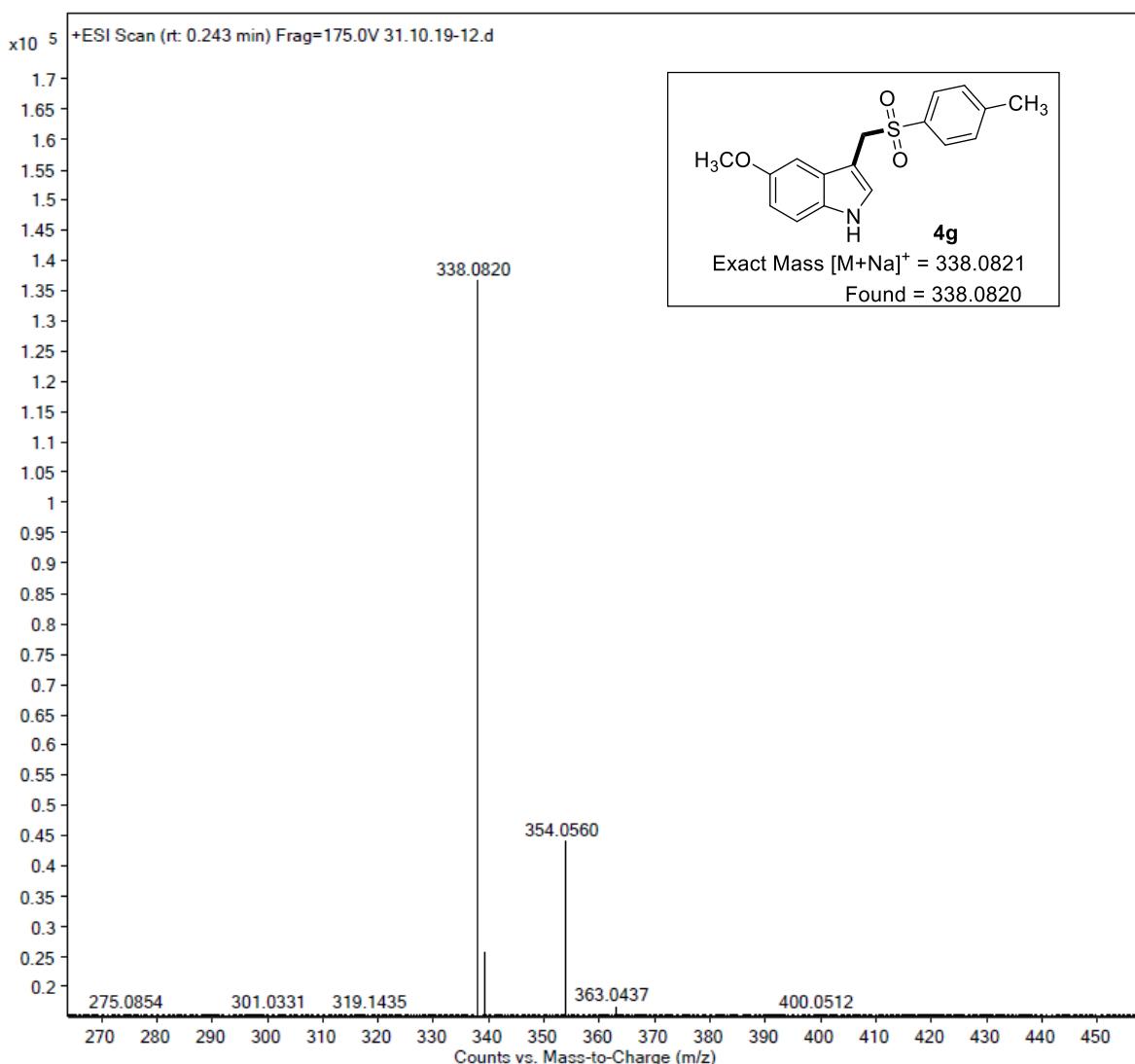
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of 5-methoxy-3-(tosylmethyl)-1*H*-indole (4g)**



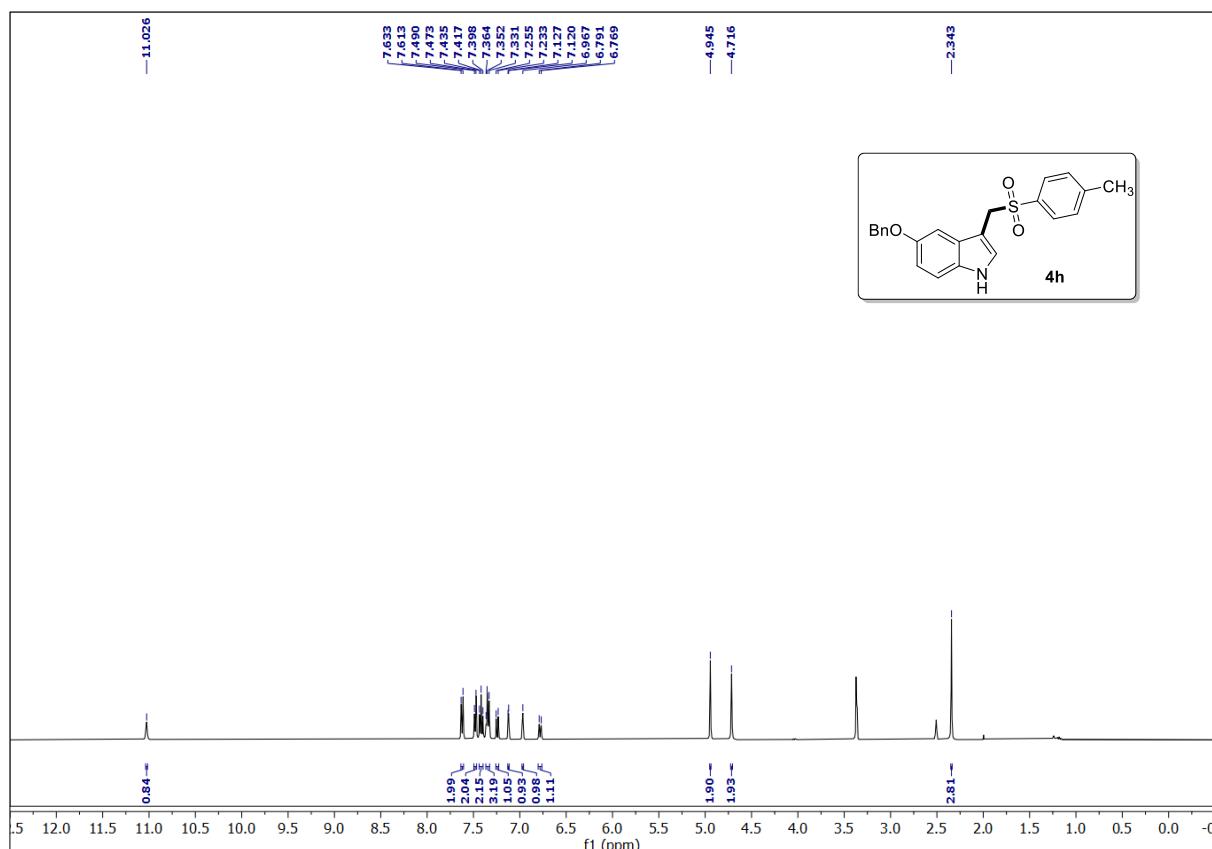
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub>) of 5-methoxy-3-(tosylmethyl)-1*H*-indole (4g)**



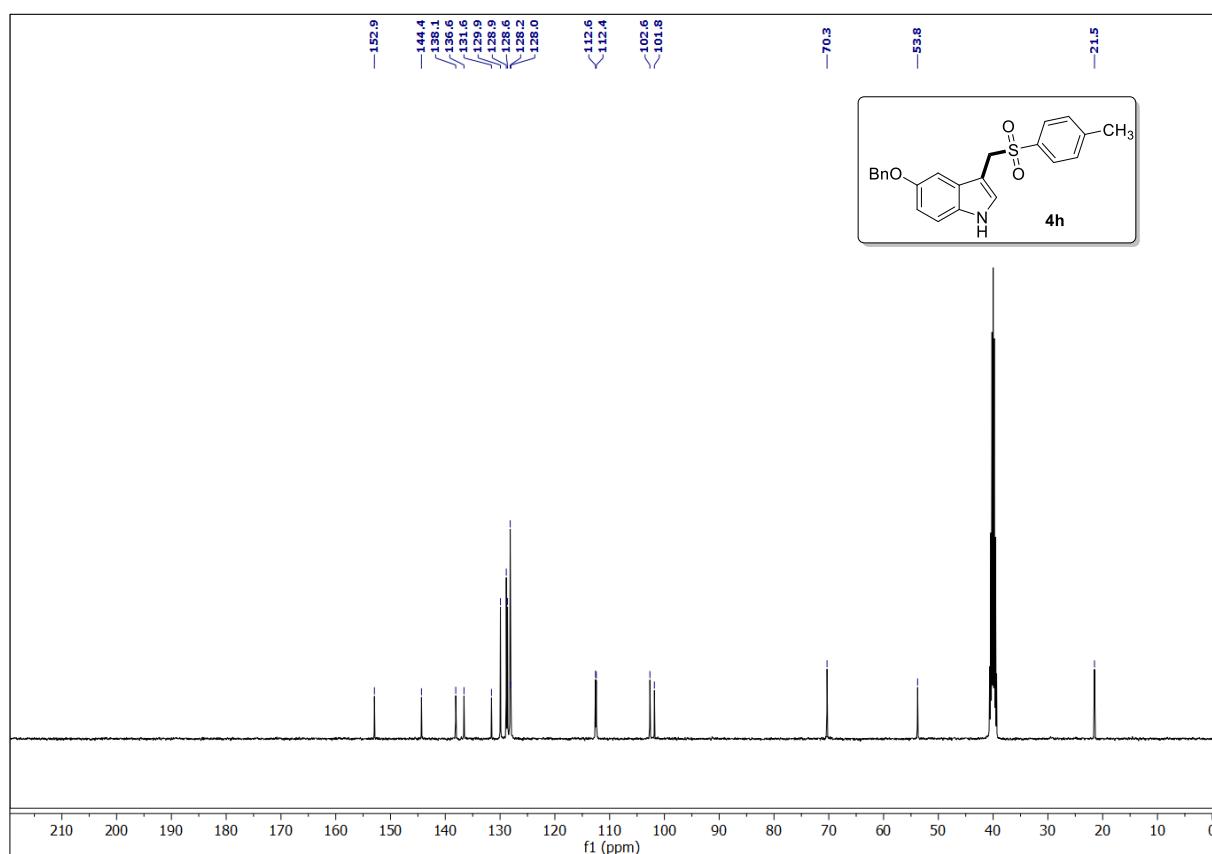
**Mass spectrum of 5-methoxy-3-(tosylmethyl)-1*H*-indole (4g)**



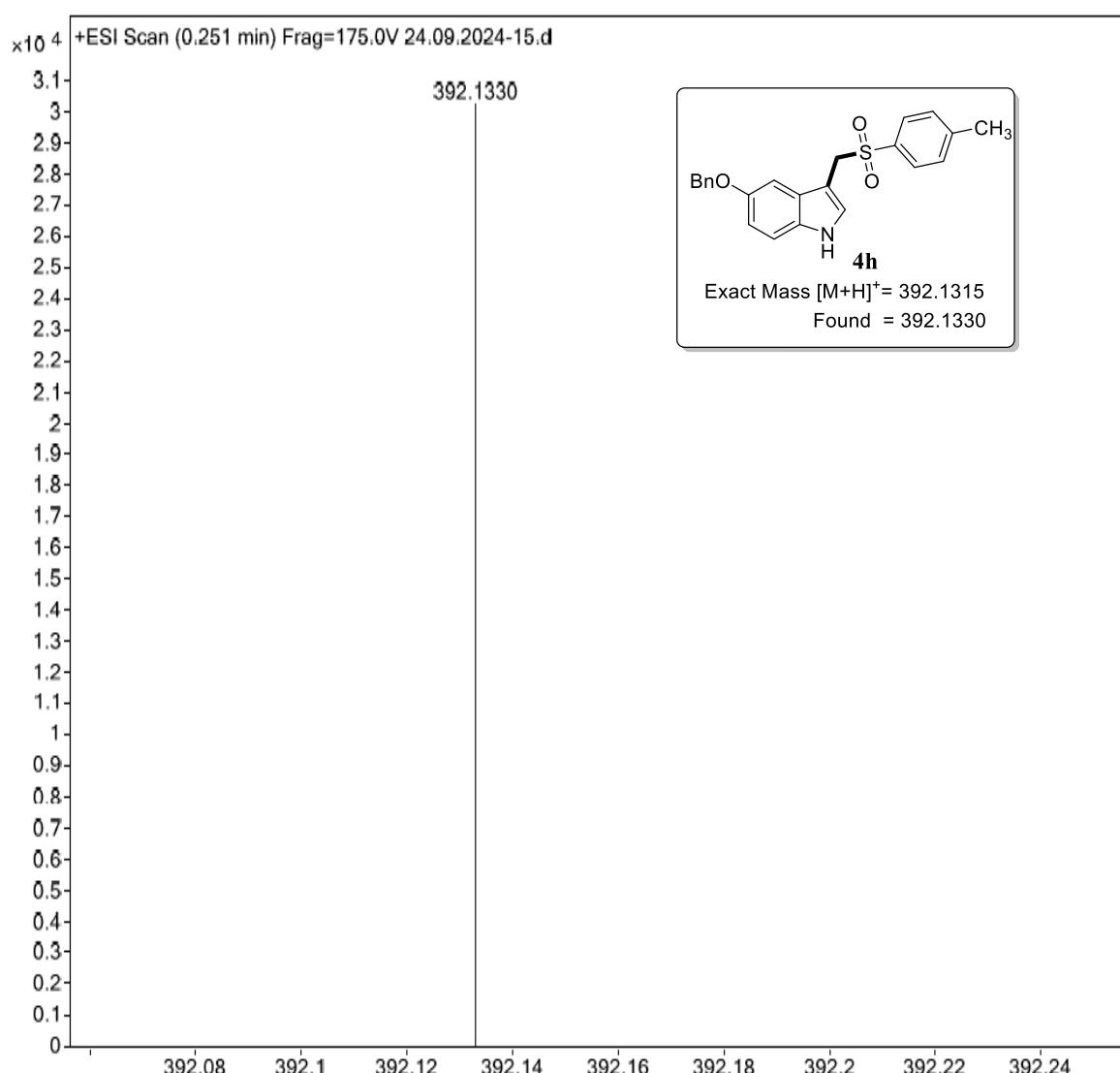
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of 5-(benzyloxy)-3-(tosylmethyl)-1*H*-indole (4h)**



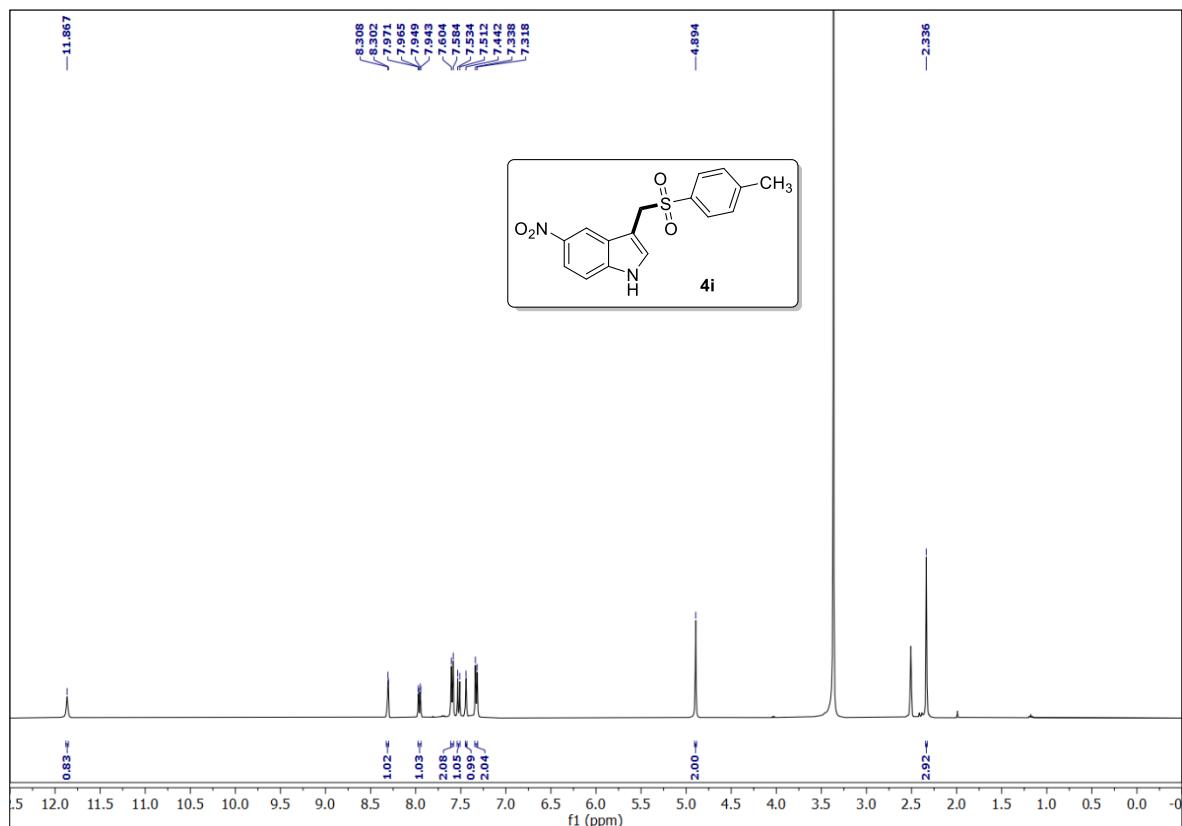
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub>) of 5-(benzyloxy)-3-(tosylmethyl)-1*H*-indole (4h)**



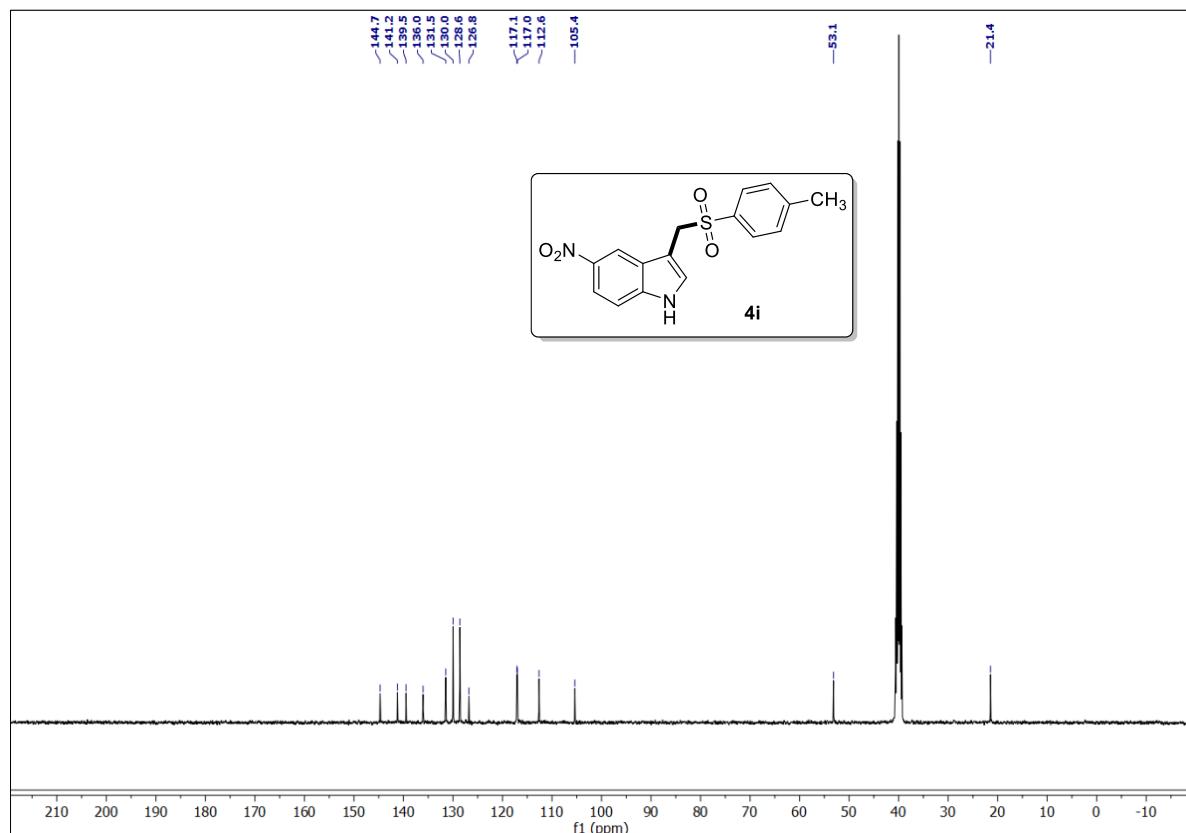
**Mass spectrum of 5-(benzyloxy)-3-(tosylmethyl)-1*H*-indole (4h)**



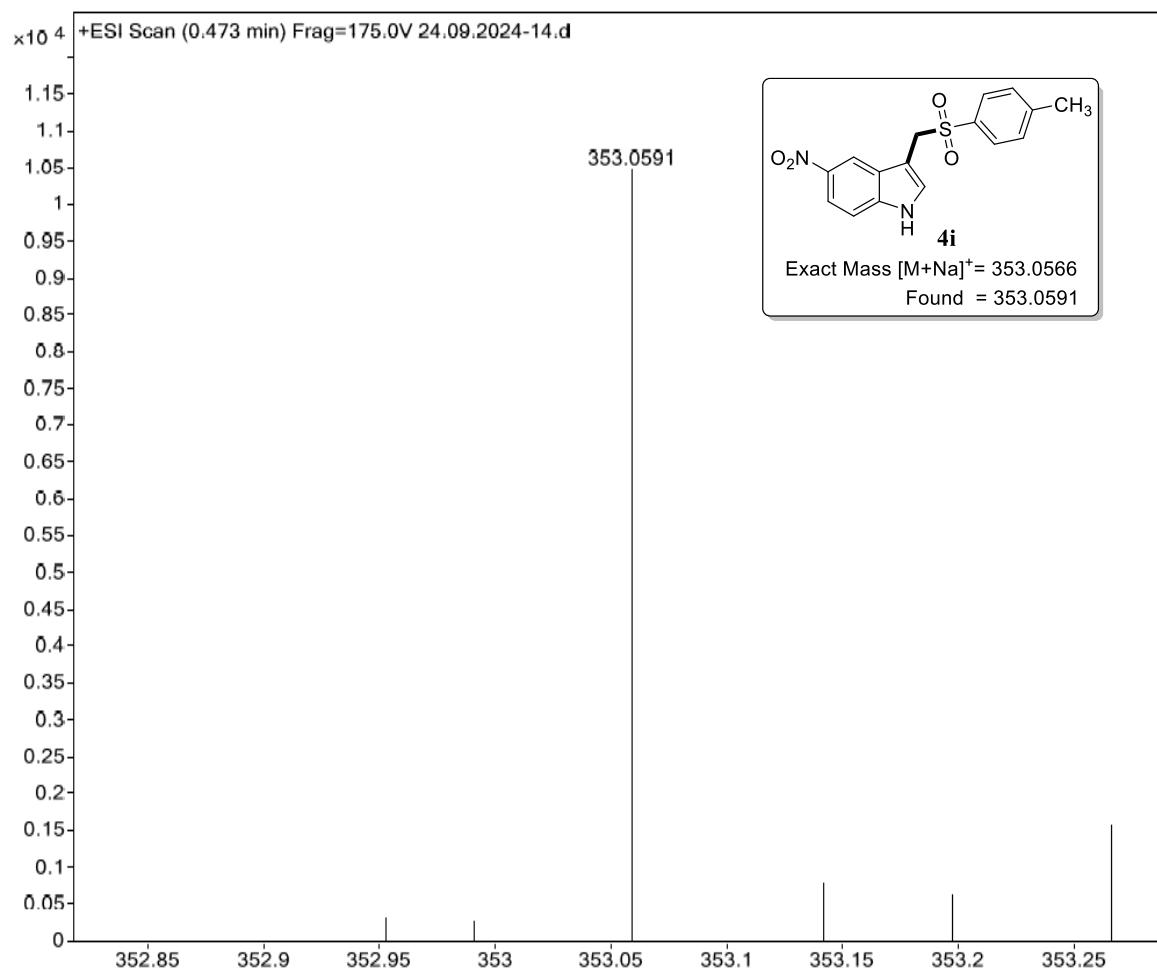
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of 5-nitro-3-(tosylmethyl)-1*H*-indole (4i)**



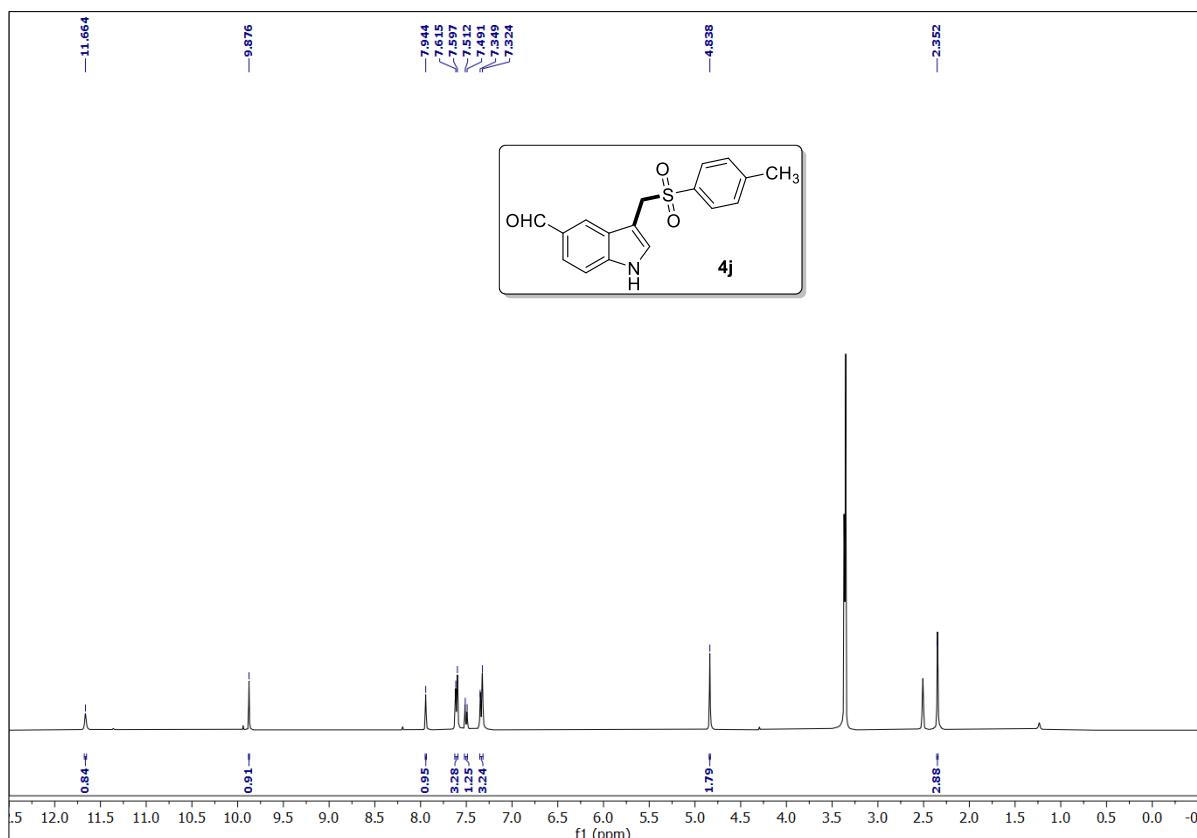
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub>) of 5-nitro-3-(tosylmethyl)-1*H*-indole (4i)**



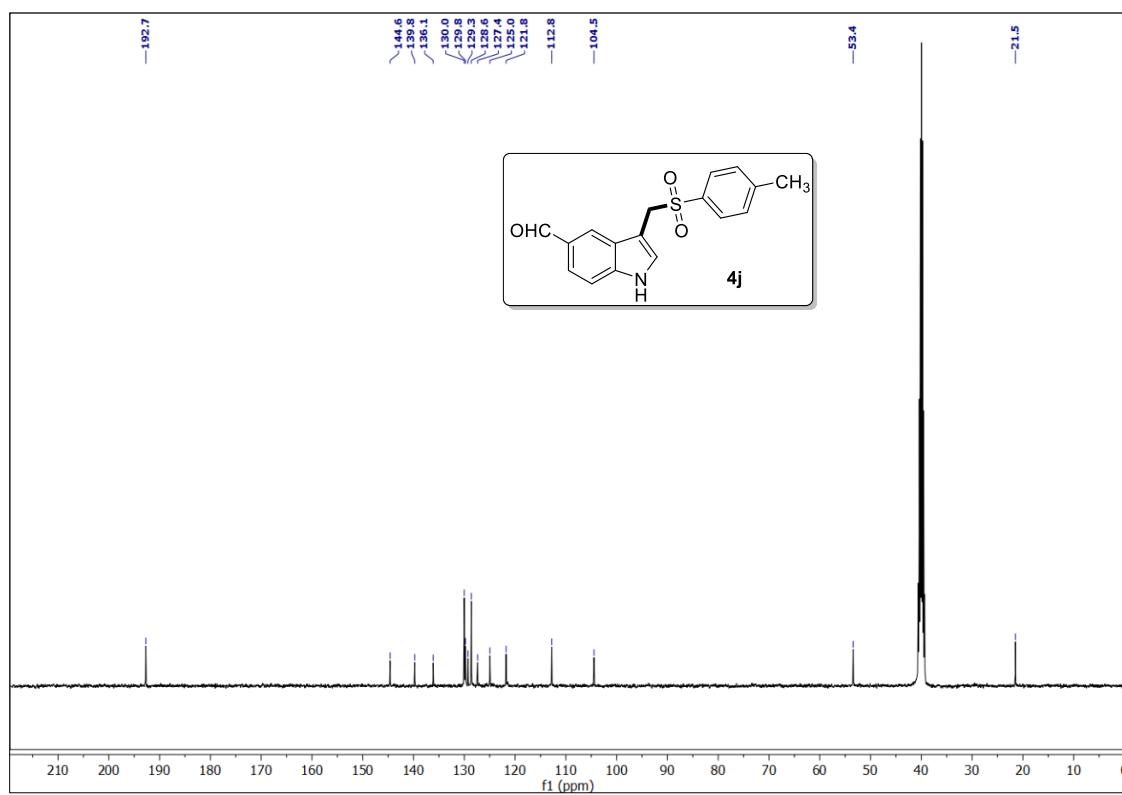
**Mass spectrum of 5-nitro-3-(tosylmethyl)-1*H*-indole (**4i**)**



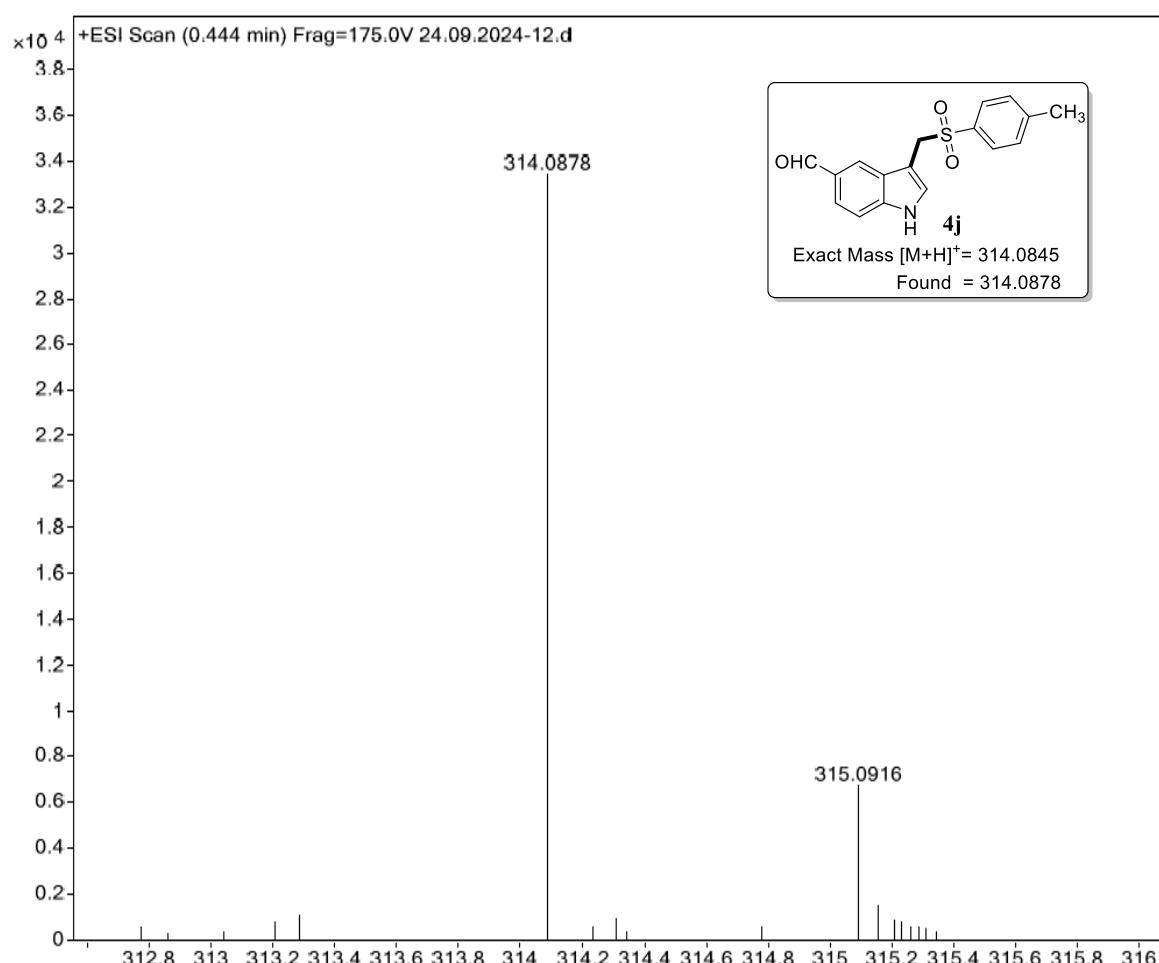
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of 3-(tosylmethyl)-1*H*-indole-5-carbaldehyde (**4j**)**



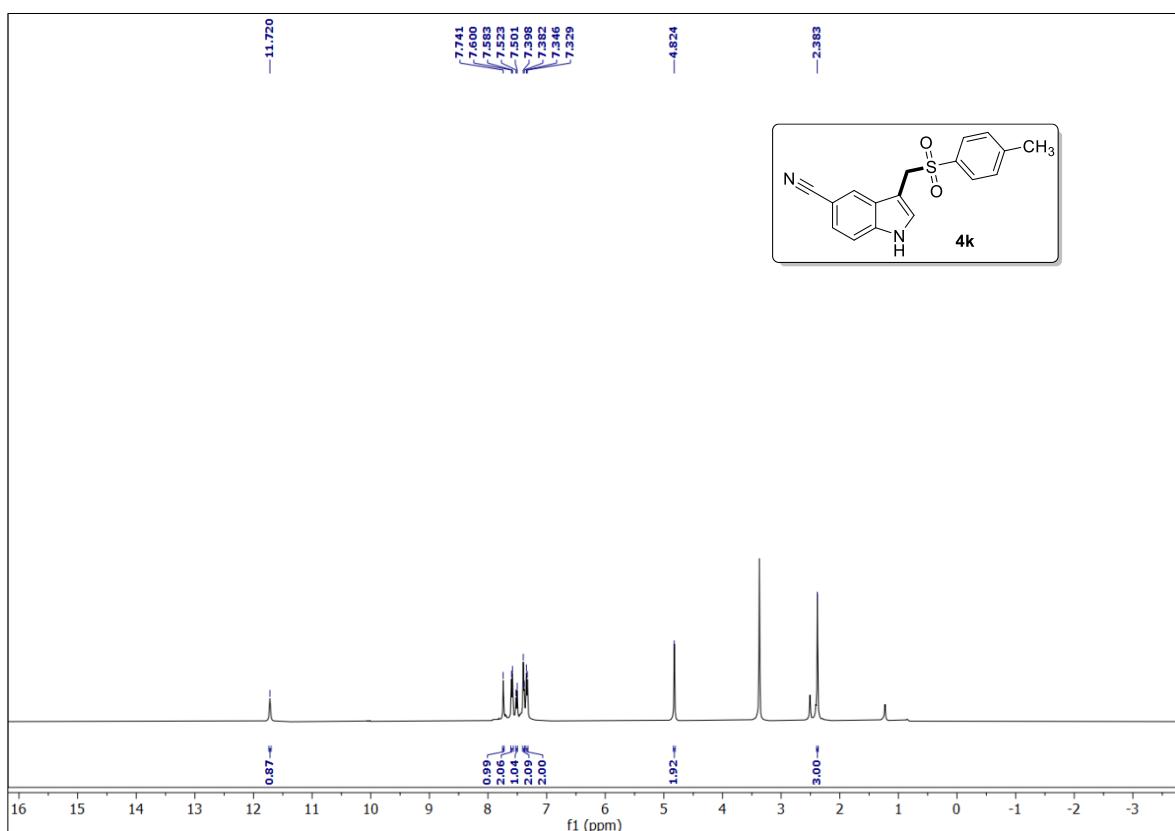
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub>) of 3-(tosylmethyl)-1*H*-indole-5-carbaldehyde (**4j**)**



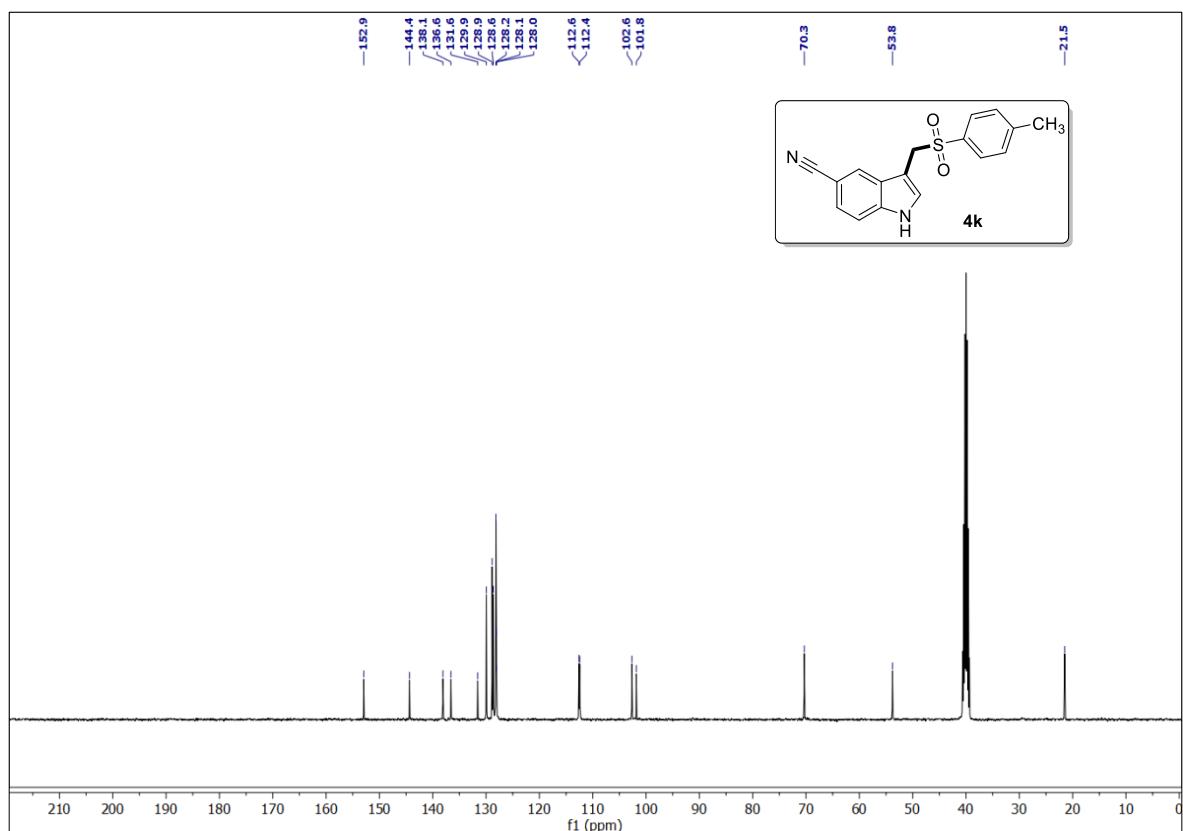
**Mass spectrum of 3-(tosylmethyl)-1*H*-indole-5-carbaldehyde (**4j**)**



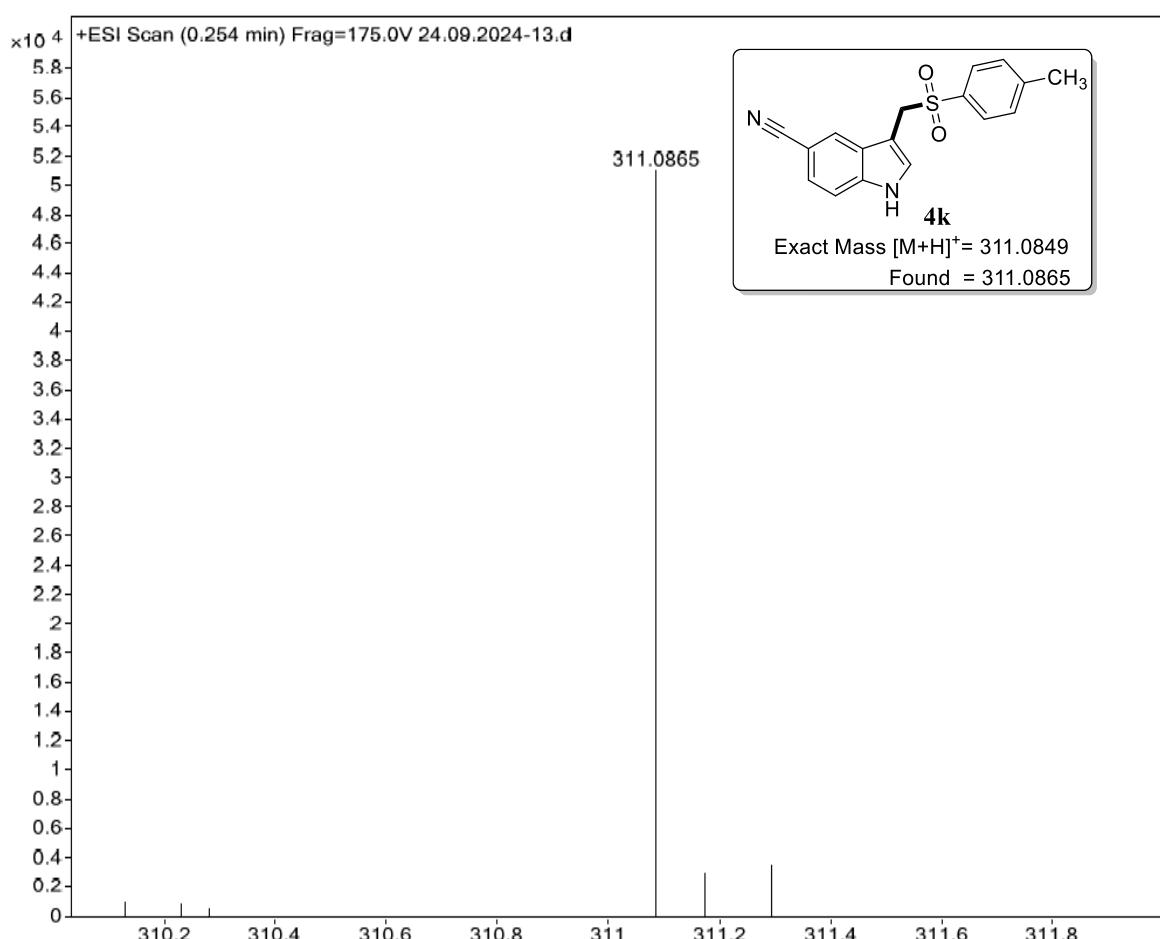
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of 3-(tosylmethyl)-1*H*-indole-5-carbonitrile (4k)**



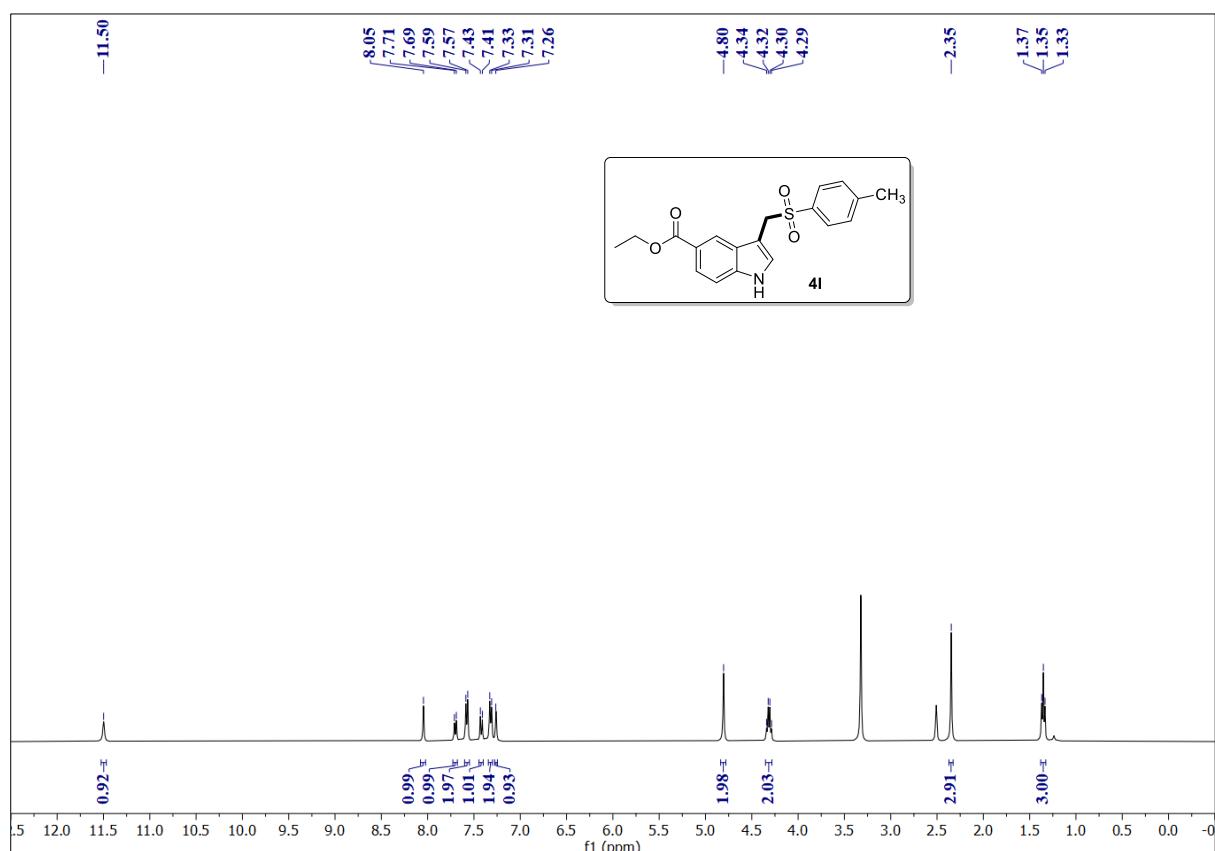
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub>) of 3-(tosylmethyl)-1*H*-indole-5-carbonitrile (4k)**



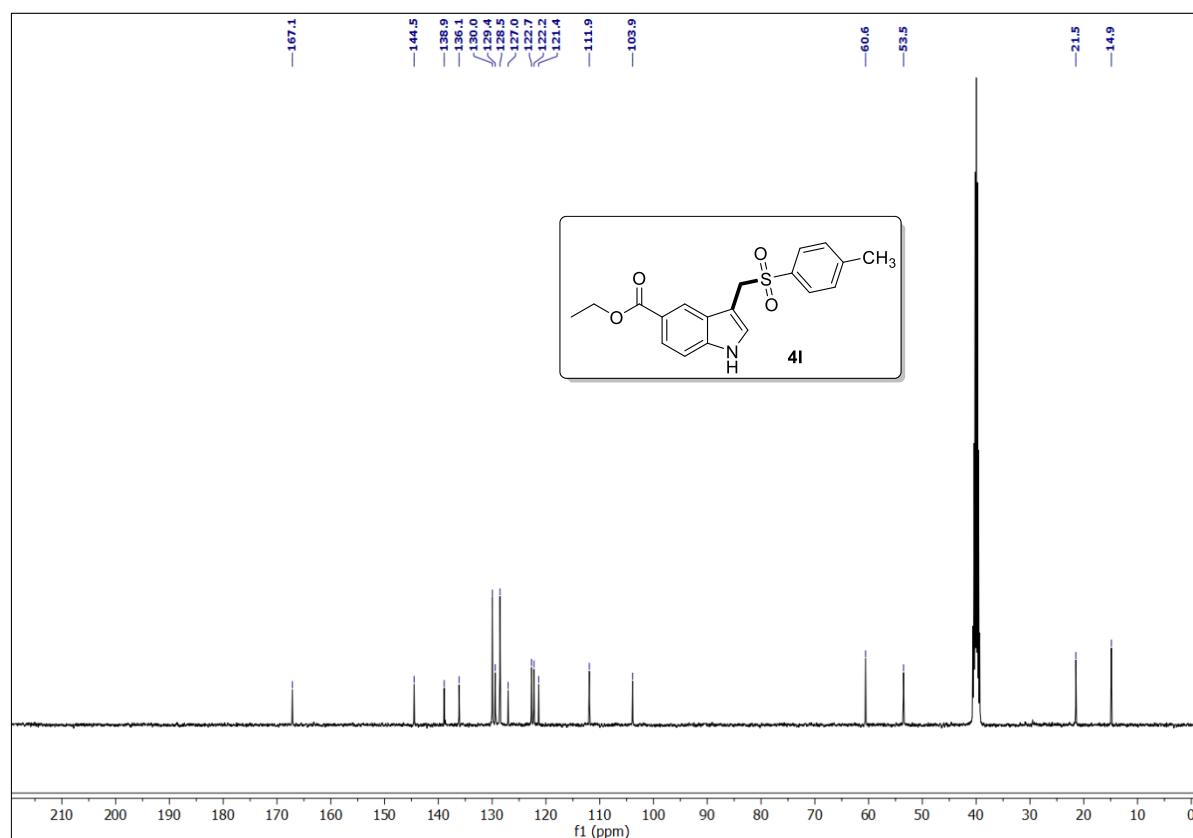
**Mass spectrum of 3-(tosylmethyl)-1*H*-indole-5-carbonitrile (4k)**



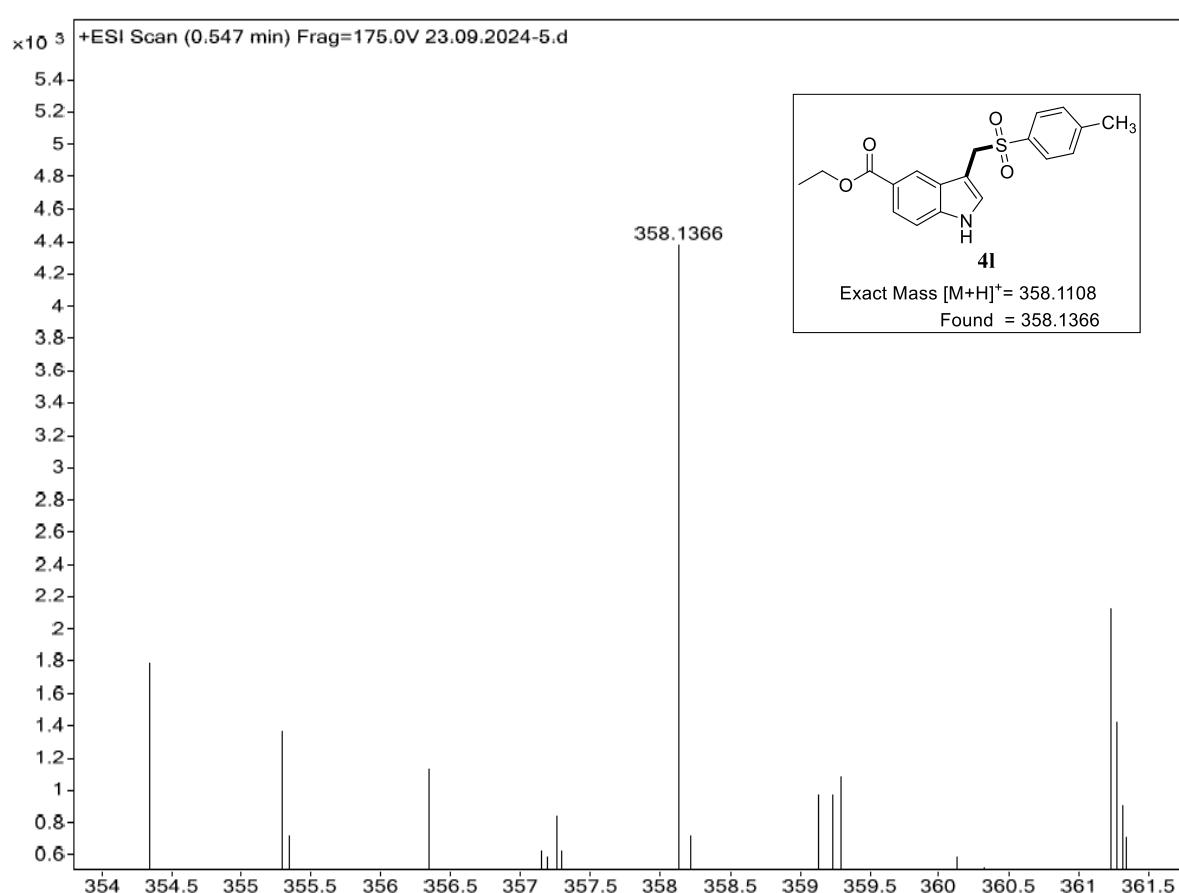
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of ethyl 3-(tosylmethyl)-1*H*-indole-5-carboxylate (4l)**



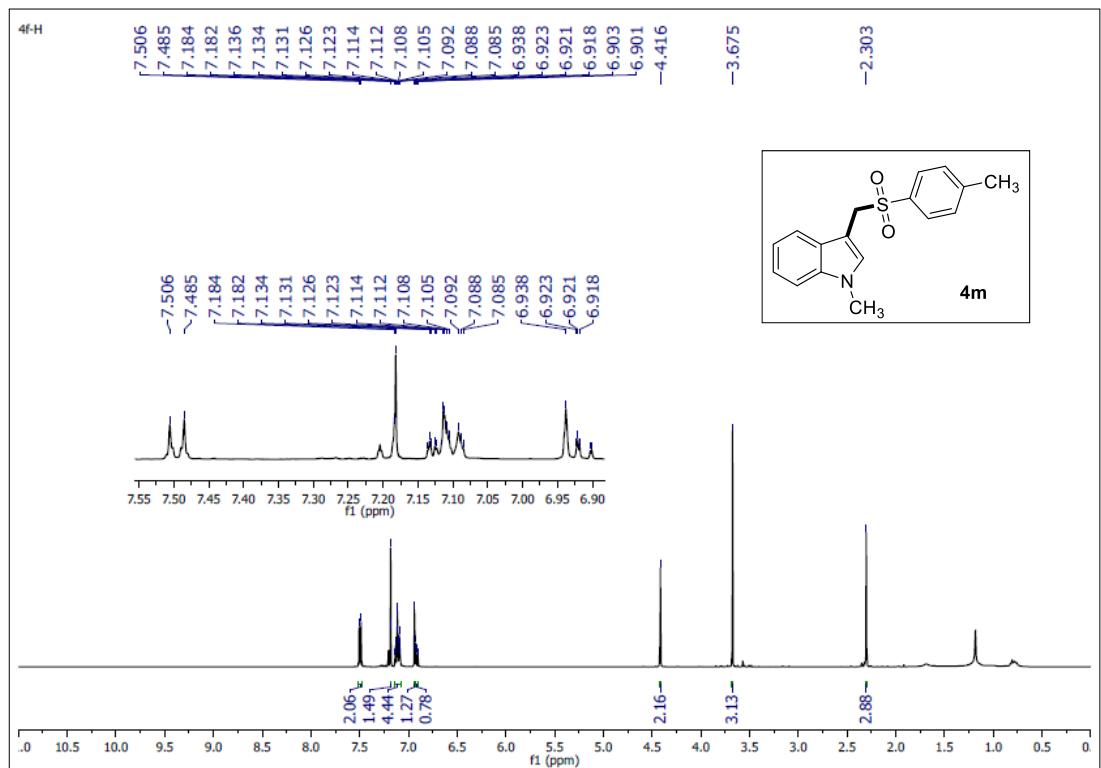
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub>) of ethyl 3-(tosylmethyl)-1*H*-indole-5-carboxylate (4l)**



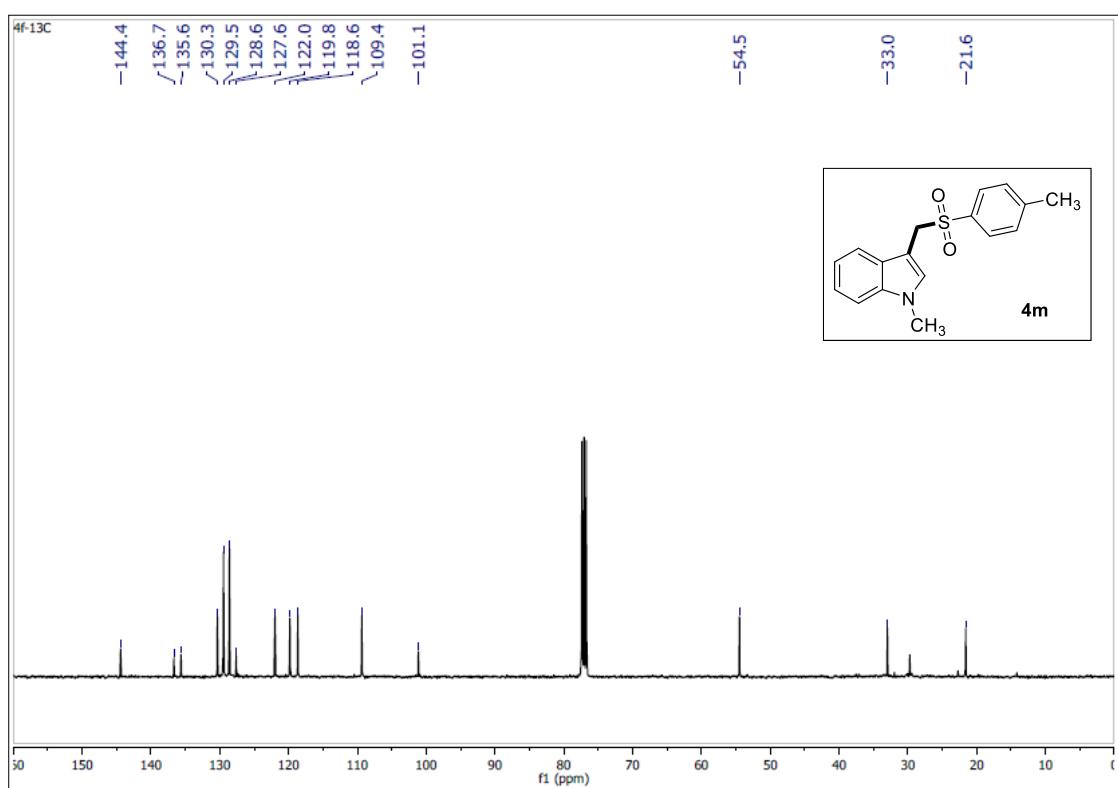
**Mass spectrum of ethyl 3-(tosylmethyl)-1*H*-indole-5-carboxylate (**4l**)**



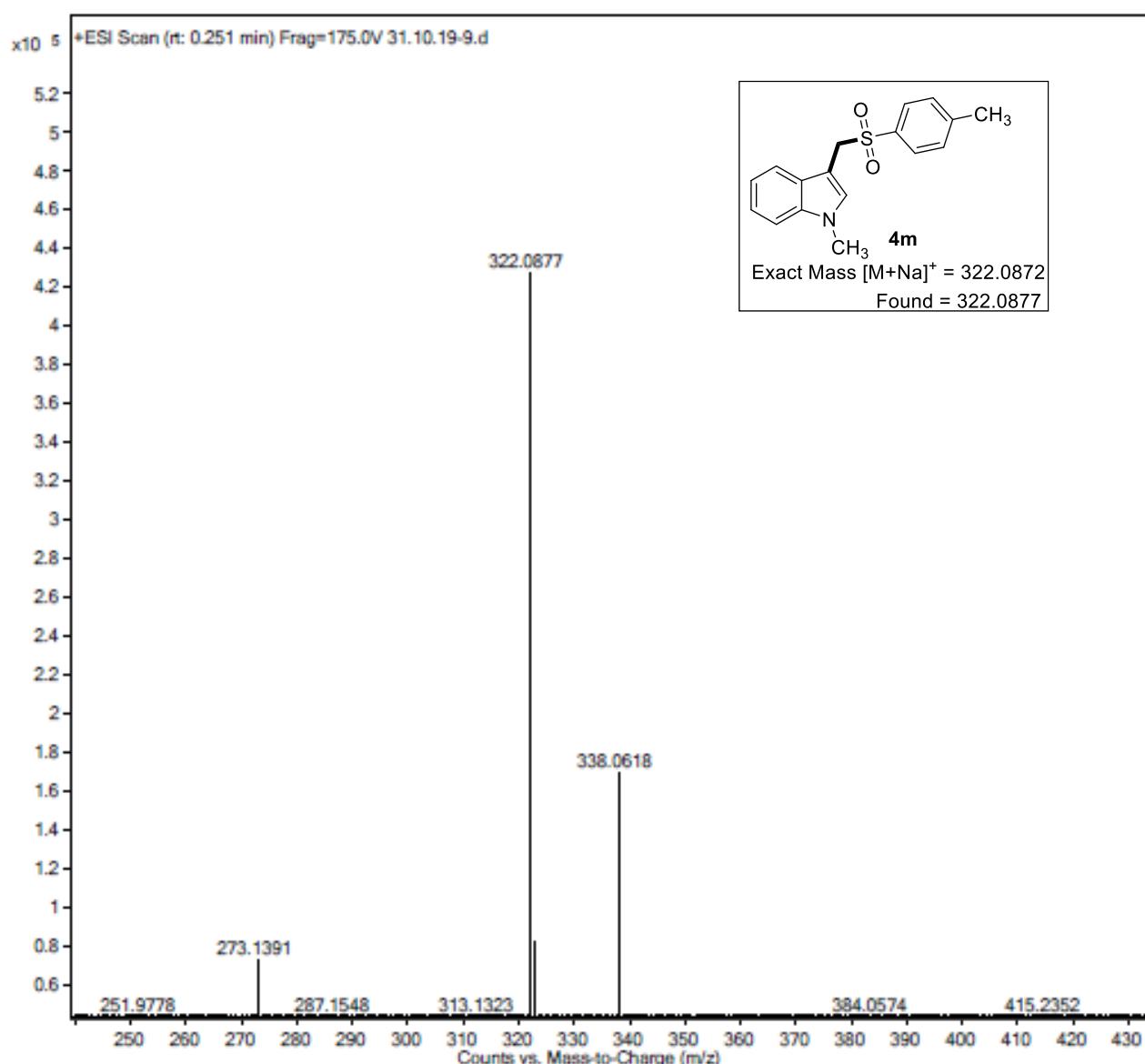
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 1-methyl-3-(tosylmethyl)-1*H*-indole (4m)**



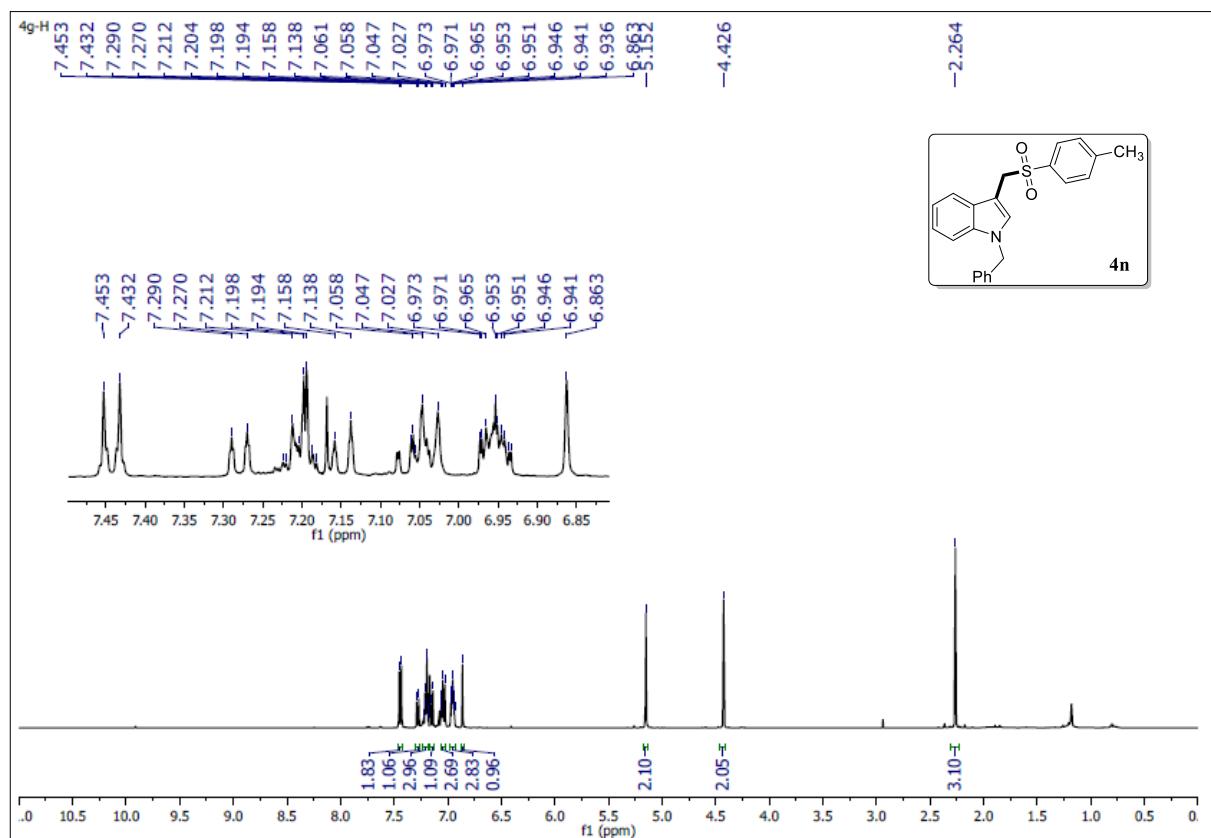
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 1-methyl-3-(tosylmethyl)-1*H*-indole (4m)**



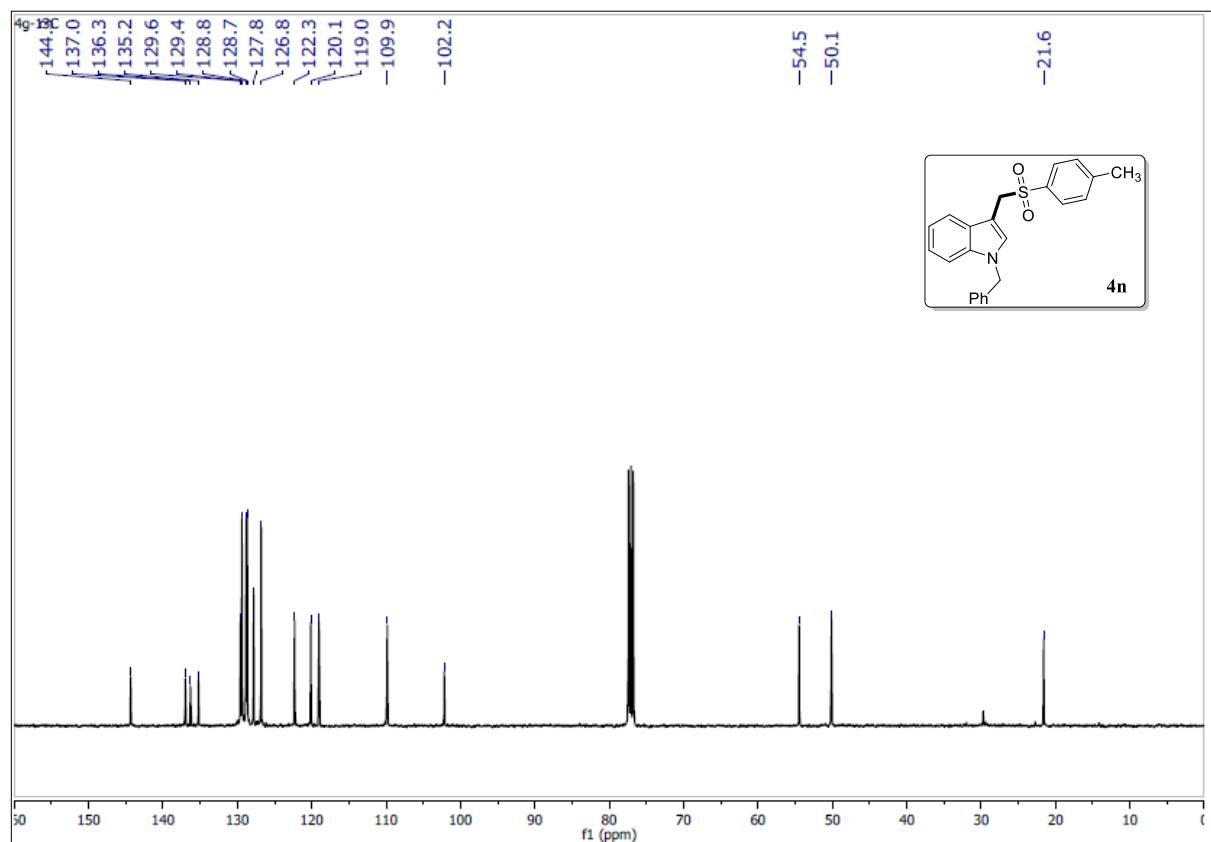
**Mass spectrum of compound 1-methyl-3-(tosylmethyl)-1*H*-indole (4m)**



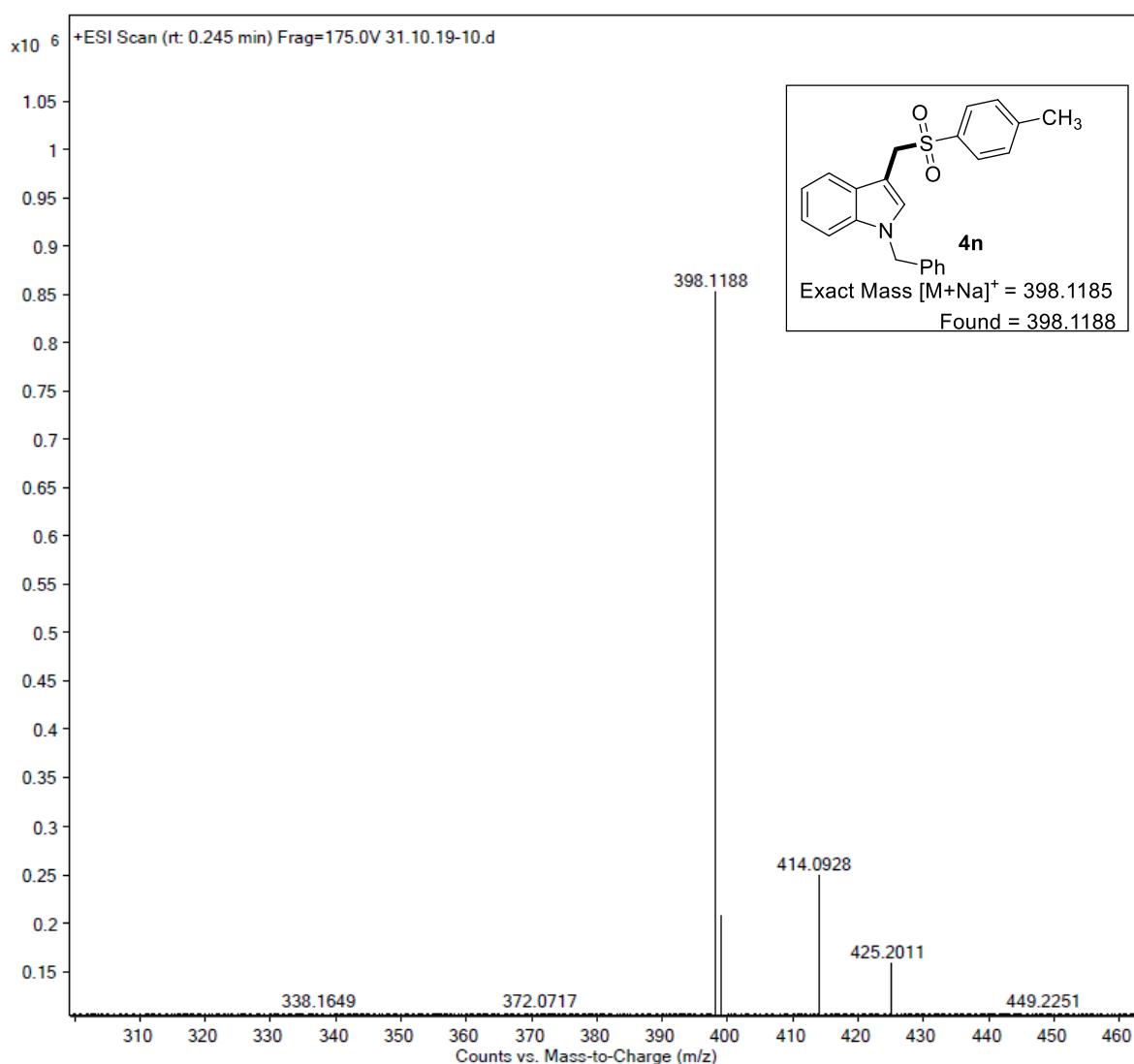
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 1-benzyl-3-(tosylmethyl)-1*H*-indole (4n)**



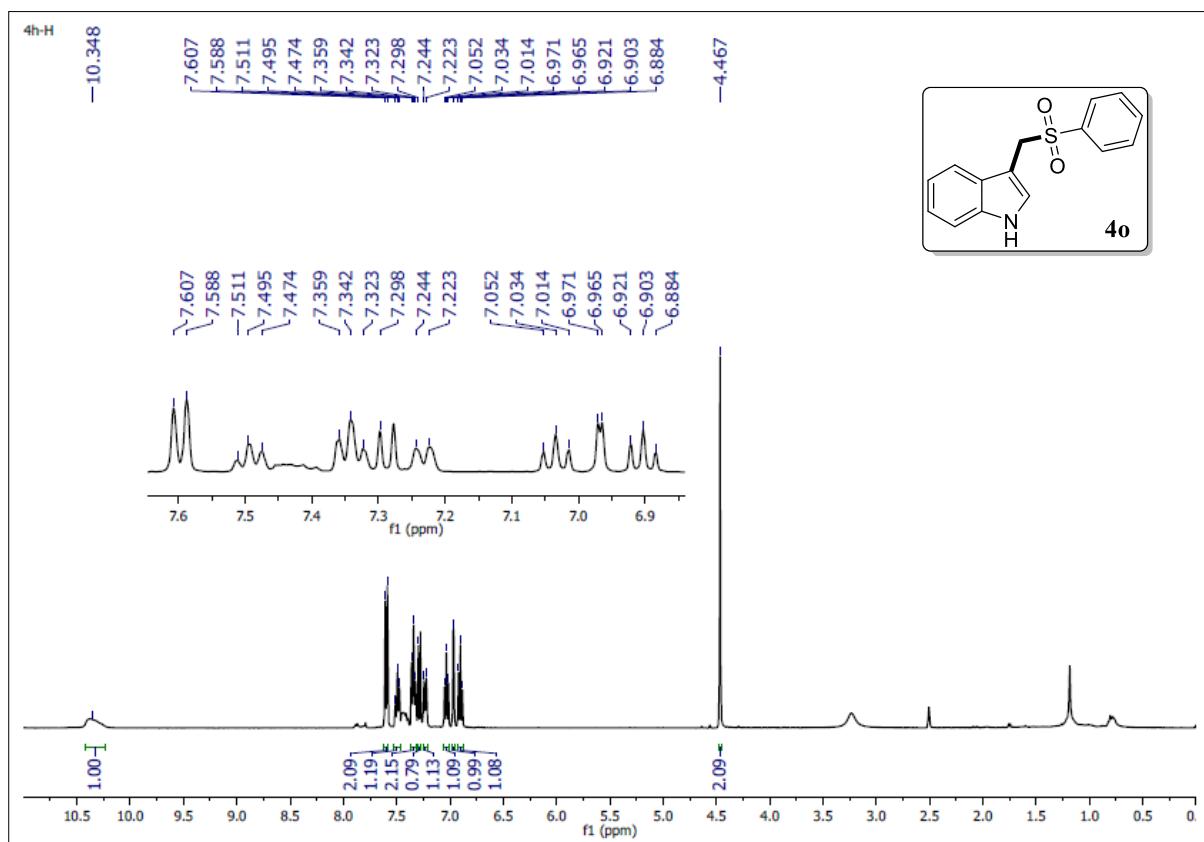
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 1-benzyl-3-(tosylmethyl)-1*H*-indole (4n)**



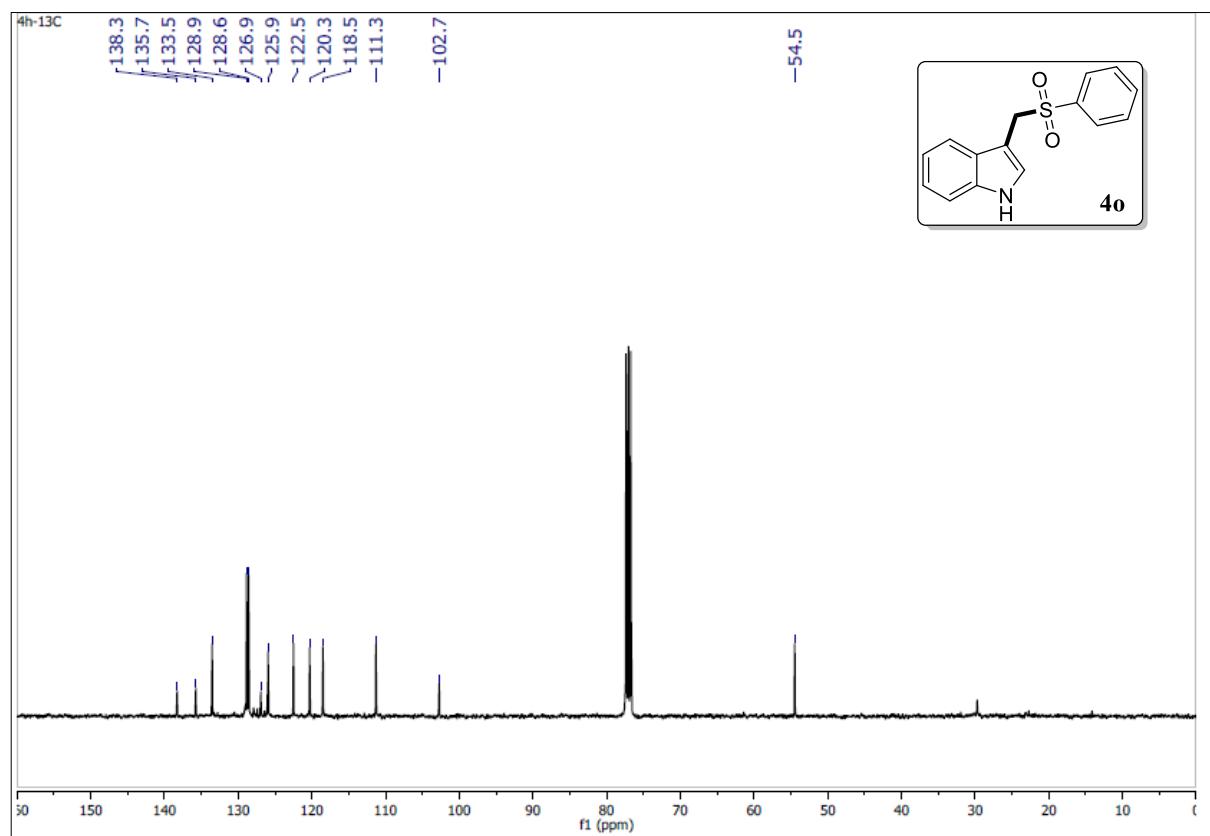
**Mass spectrum of 1-benzyl-3-(tosylmethyl)-1*H*-indole (**4n**)**



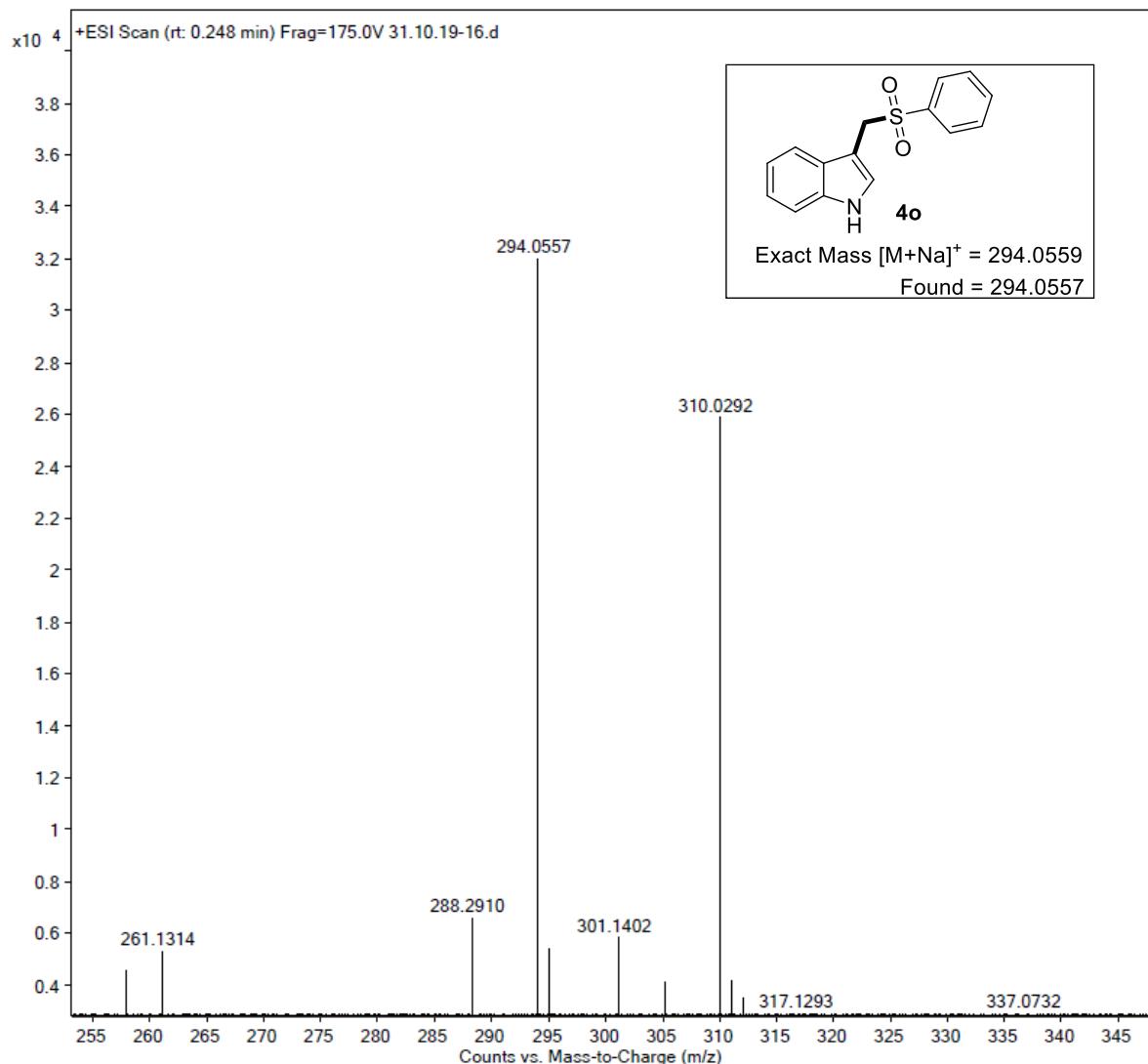
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 3-((phenylsulfonyl)methyl)-1*H*-indole (**4o**)**



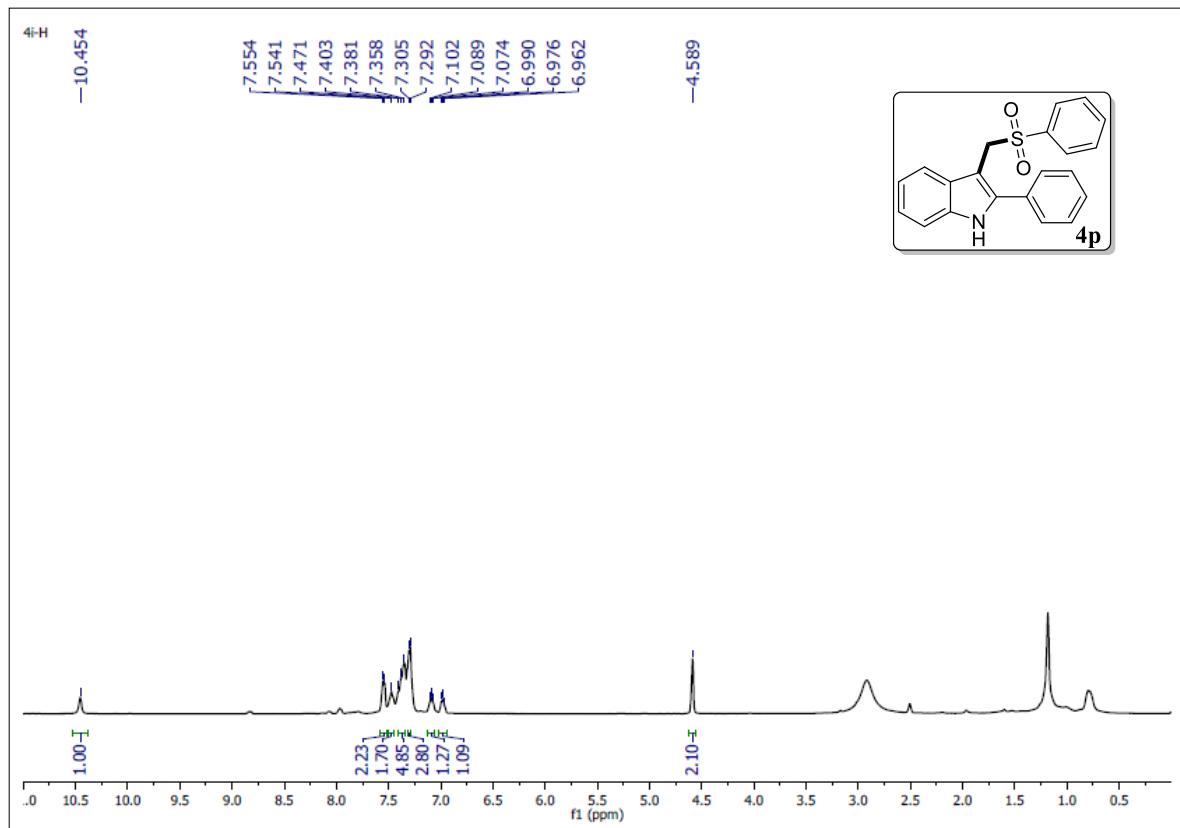
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 3-((phenylsulfonyl)methyl)-1*H*-indole (**4o**)**



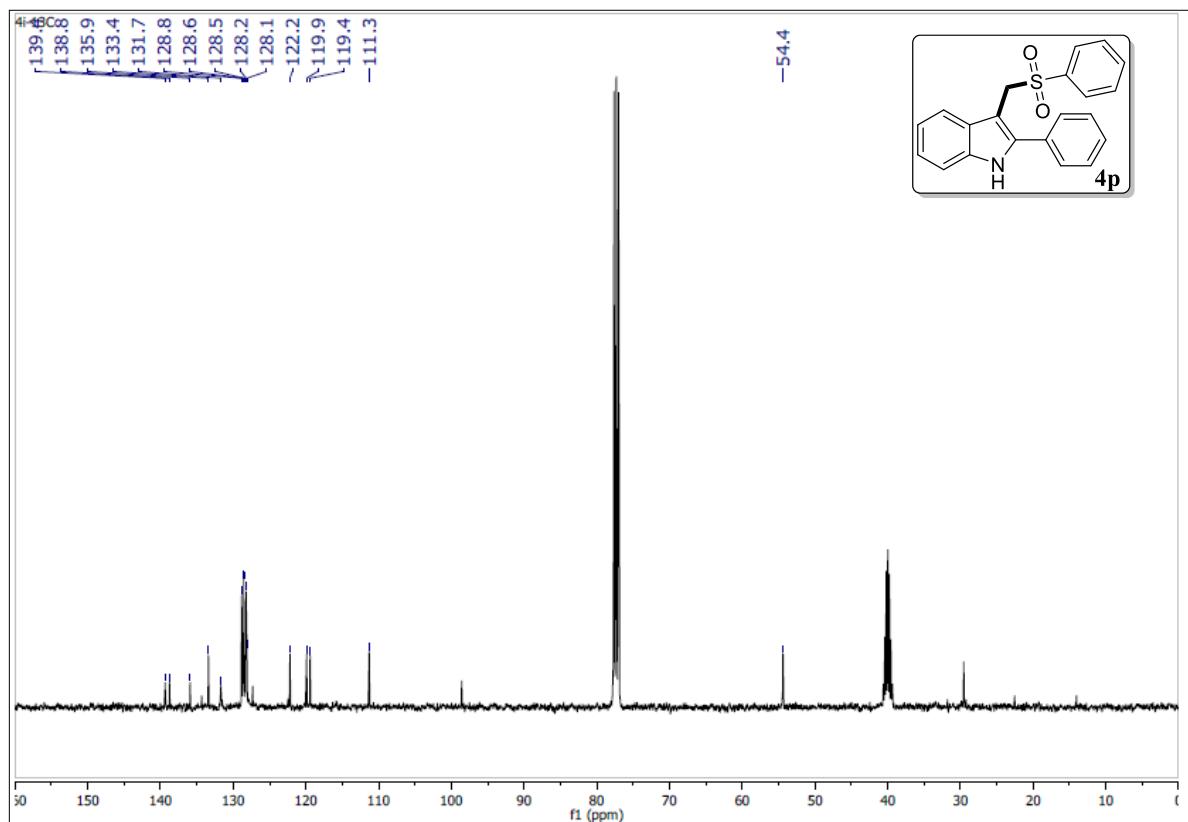
**Mass spectrum of 3-((phenylsulfonyl)methyl)-1*H*-indole (**4o**)**



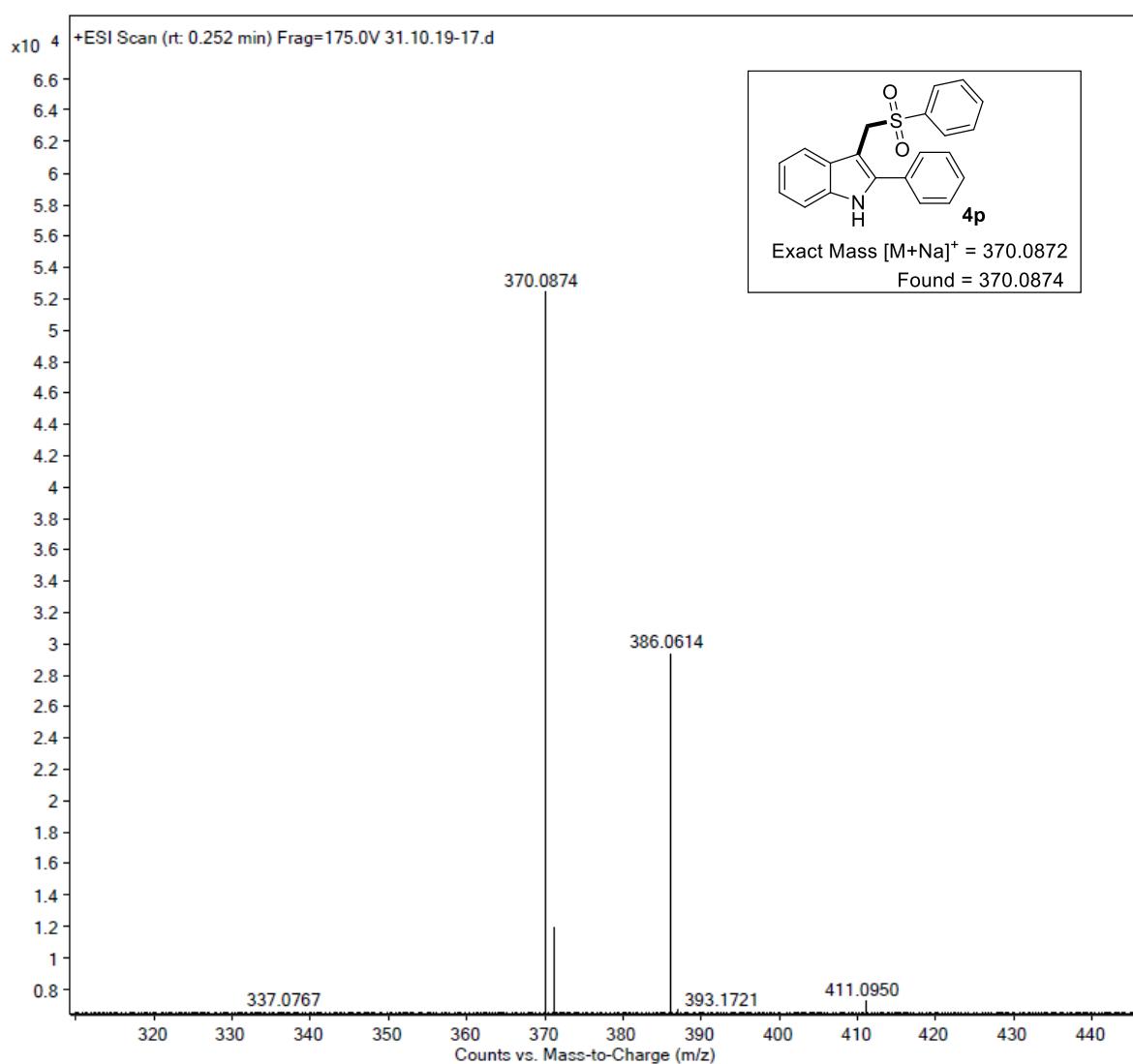
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 2-phenyl-3-((phenylsulfonyl)methyl)-1*H*-indole (**4p**)**



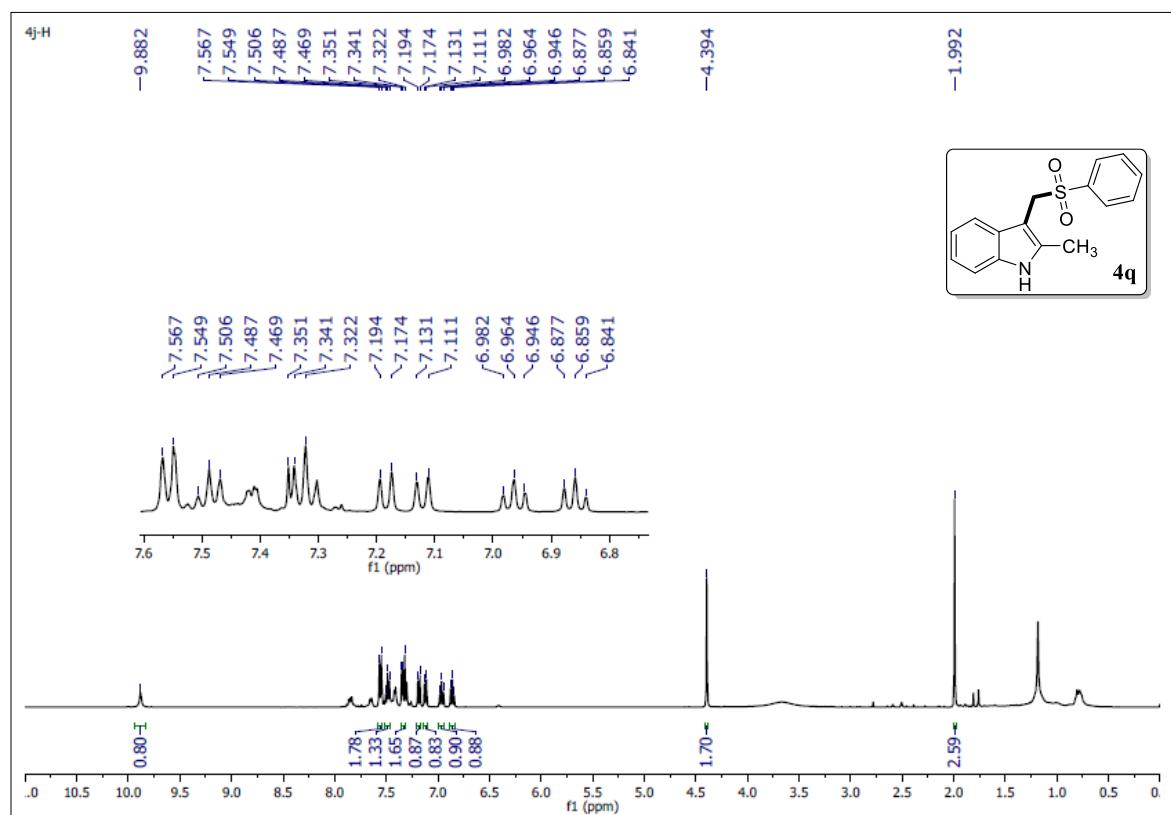
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub> + CDCl<sub>3</sub>) of 2-phenyl-3-((phenylsulfonyl)methyl)-1*H*-indole (**4p**)**



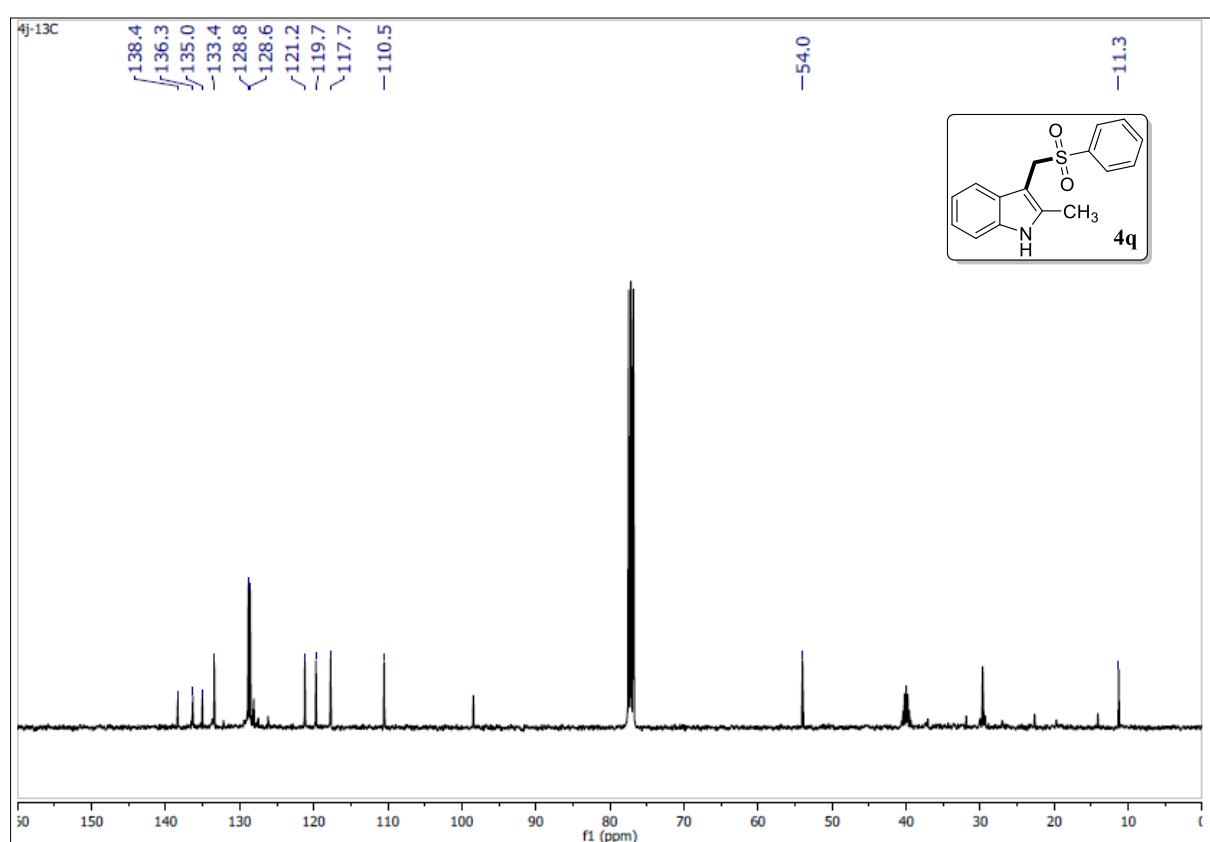
**Mass spectrum of 2-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole (**4p**)**



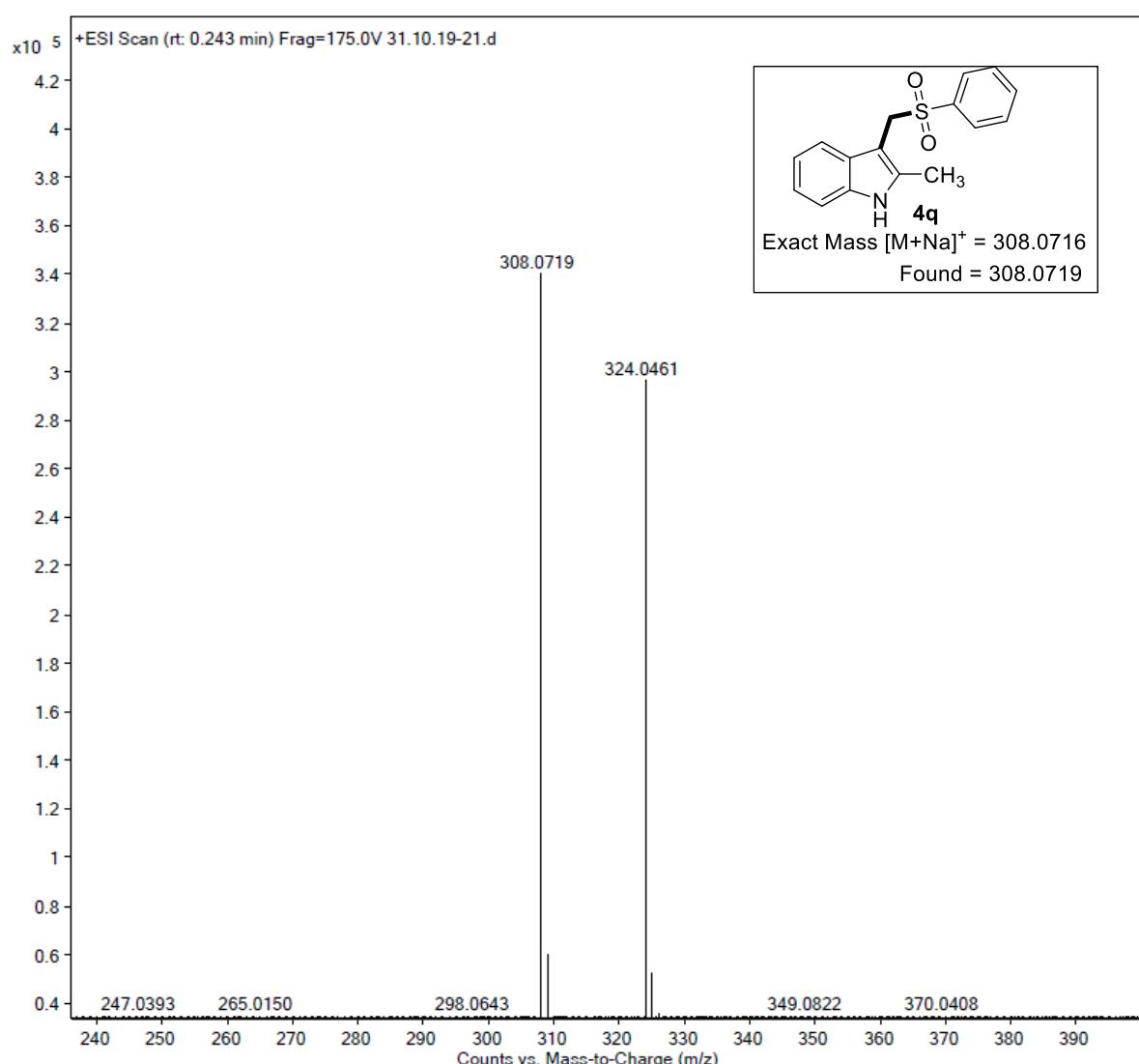
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 2-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole (4q)**



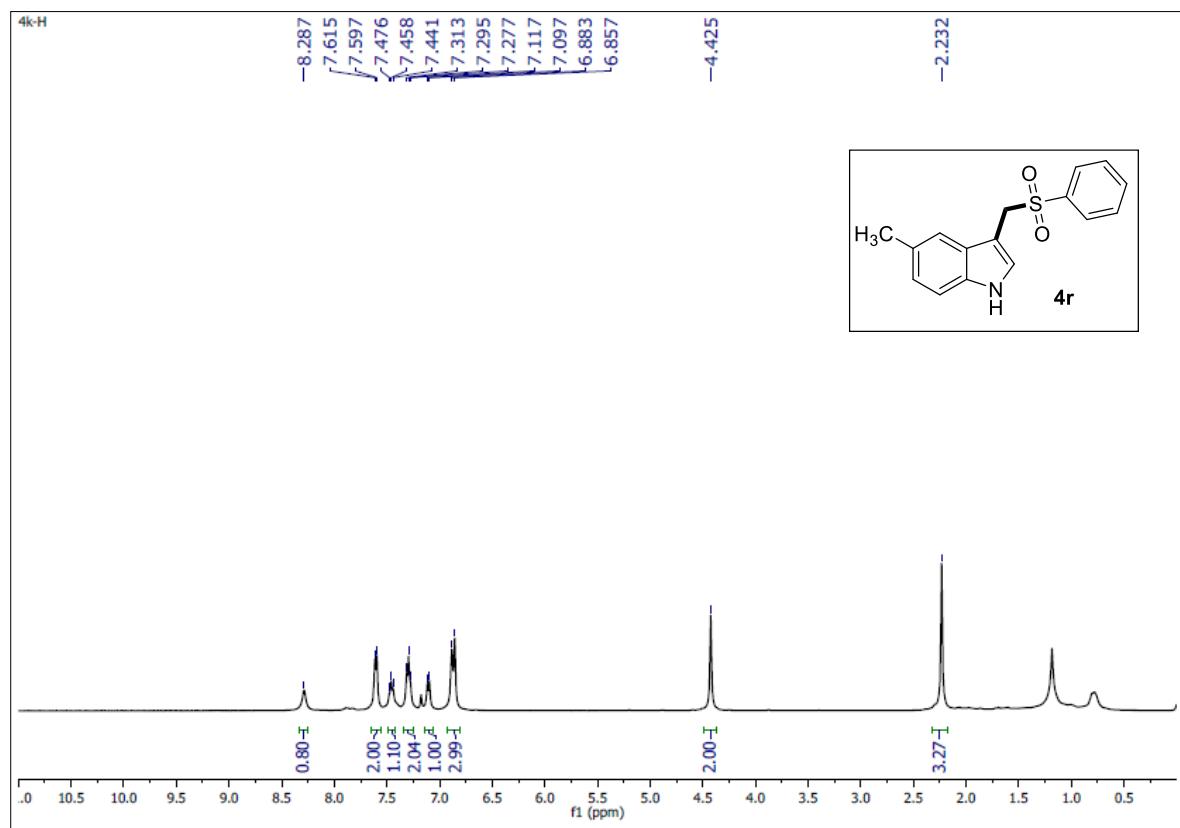
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub> + CDCl<sub>3</sub>) of 2-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole (4q)**



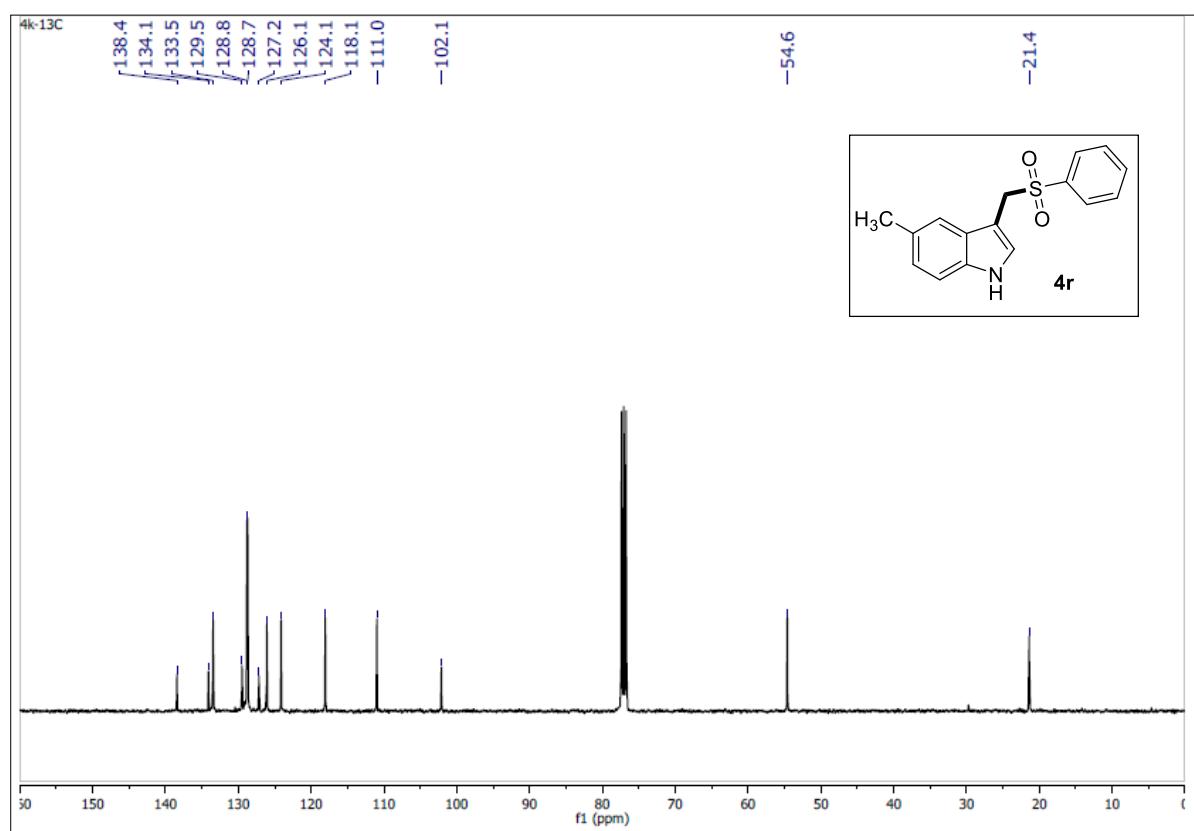
**Mass spectrum of 2-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole (**4q**)**



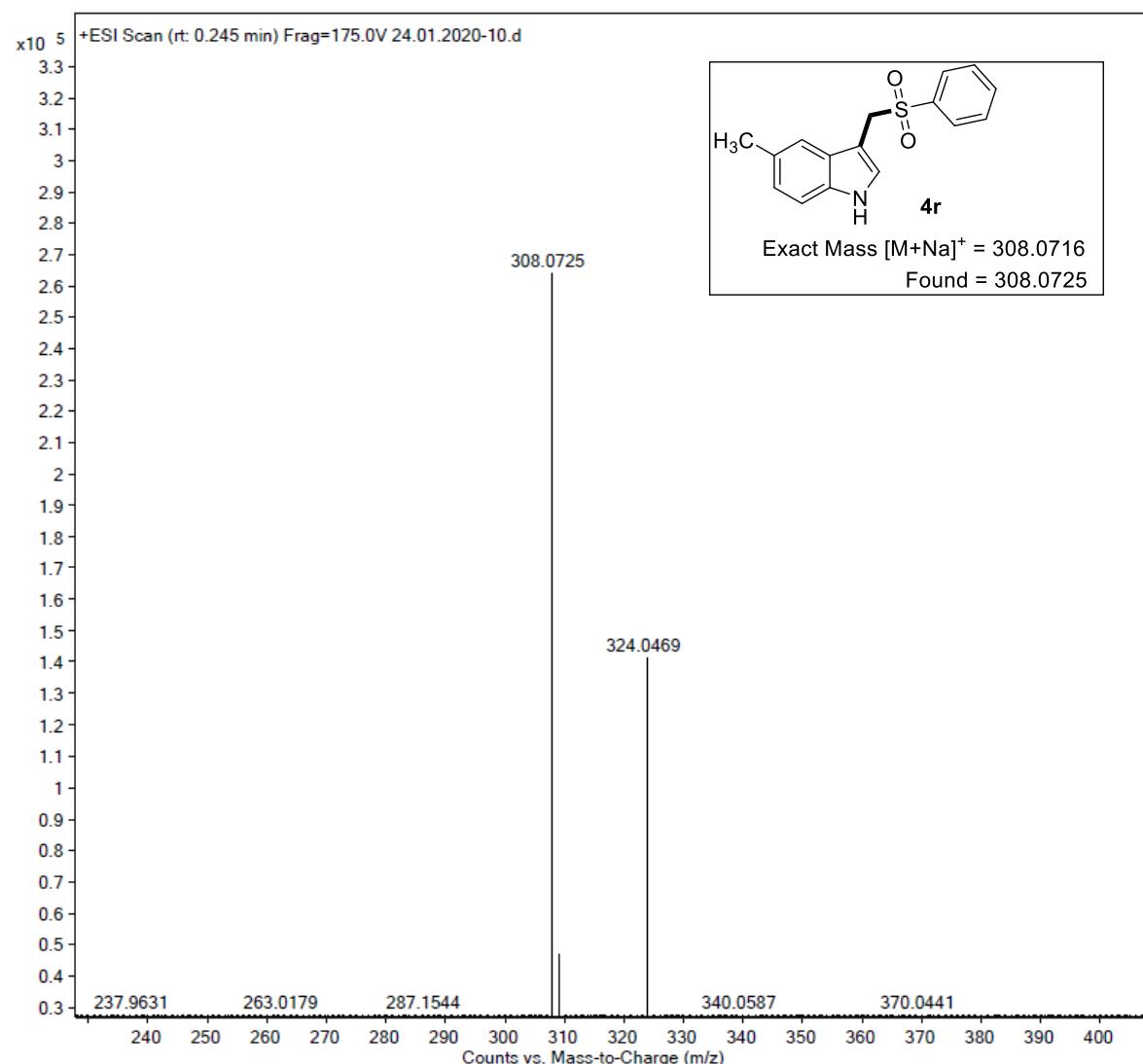
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 5-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole(4r)**



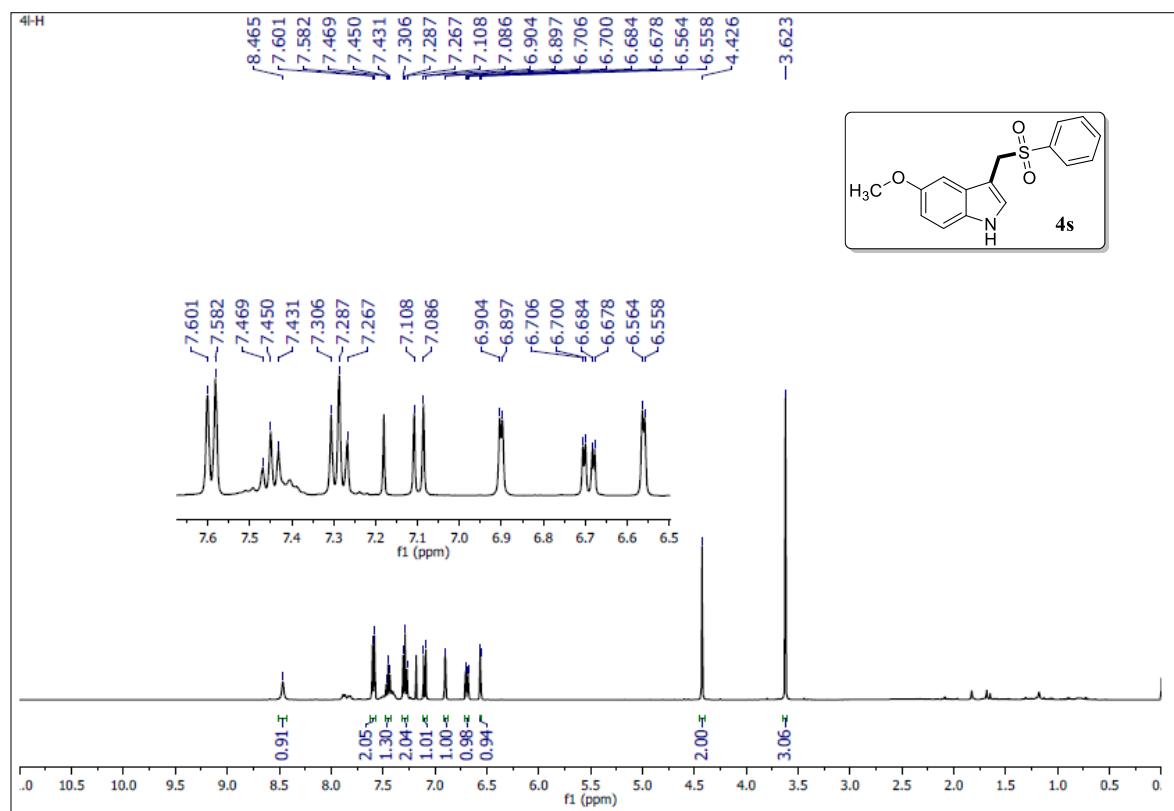
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 5-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole(4r)**



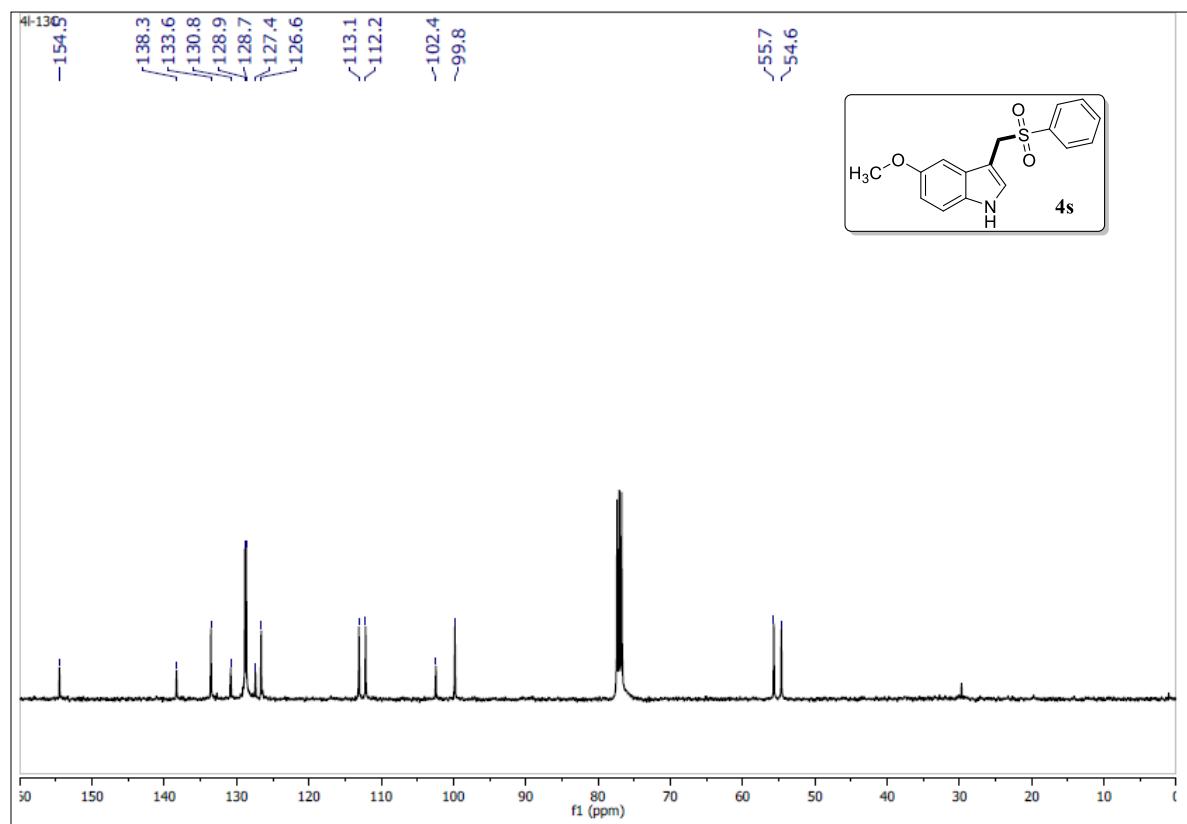
**Mass spectrum of 5-methyl-3-((phenylsulfonyl)methyl)-1*H*-indole(4r)**



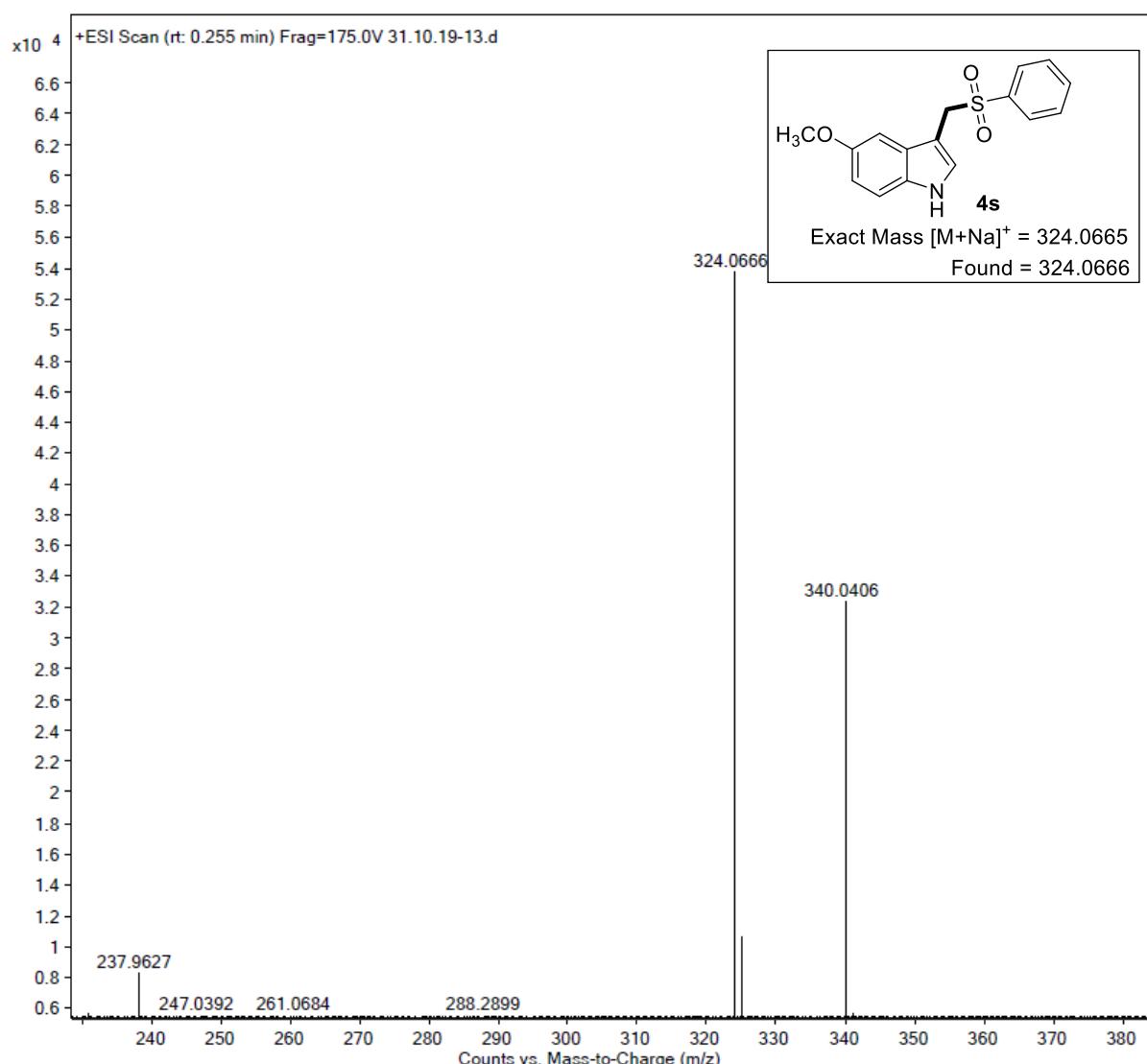
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 5-methoxy-3-((phenylsulfonyl)methyl)-1*H*-indole(4s)**



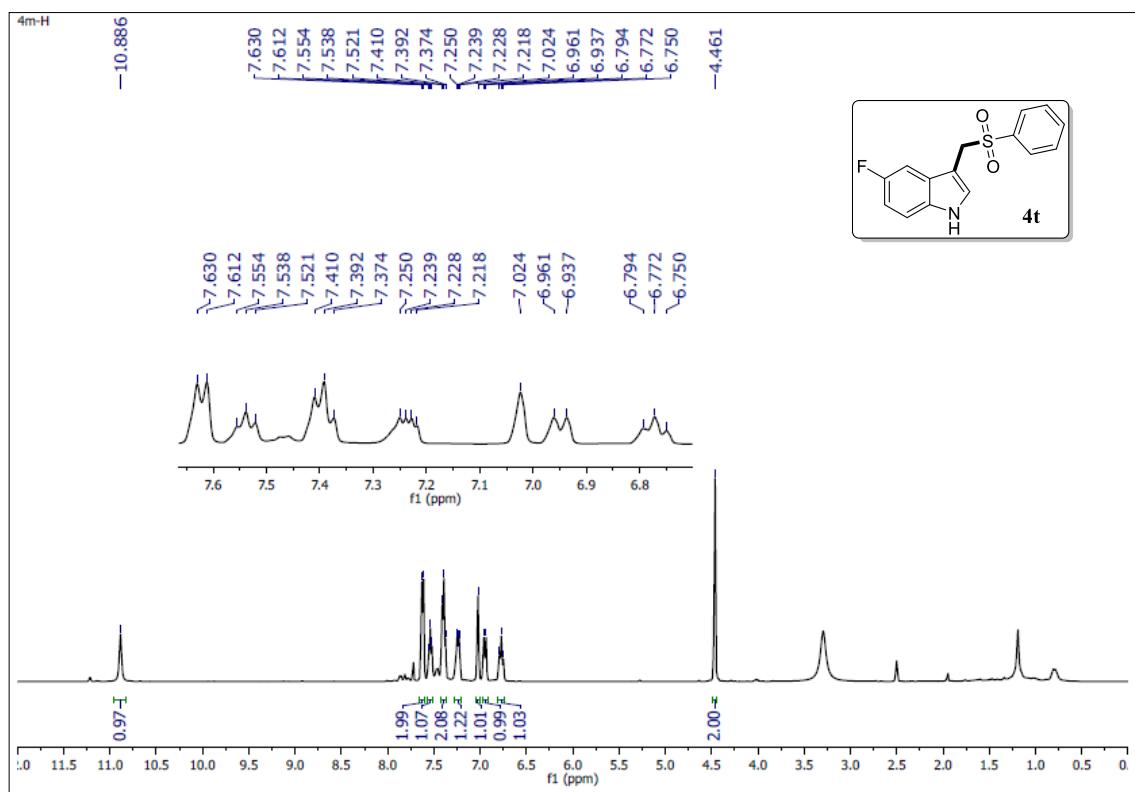
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 5-methoxy-3-((phenylsulfonyl)methyl)-1*H*-indole(4s)**



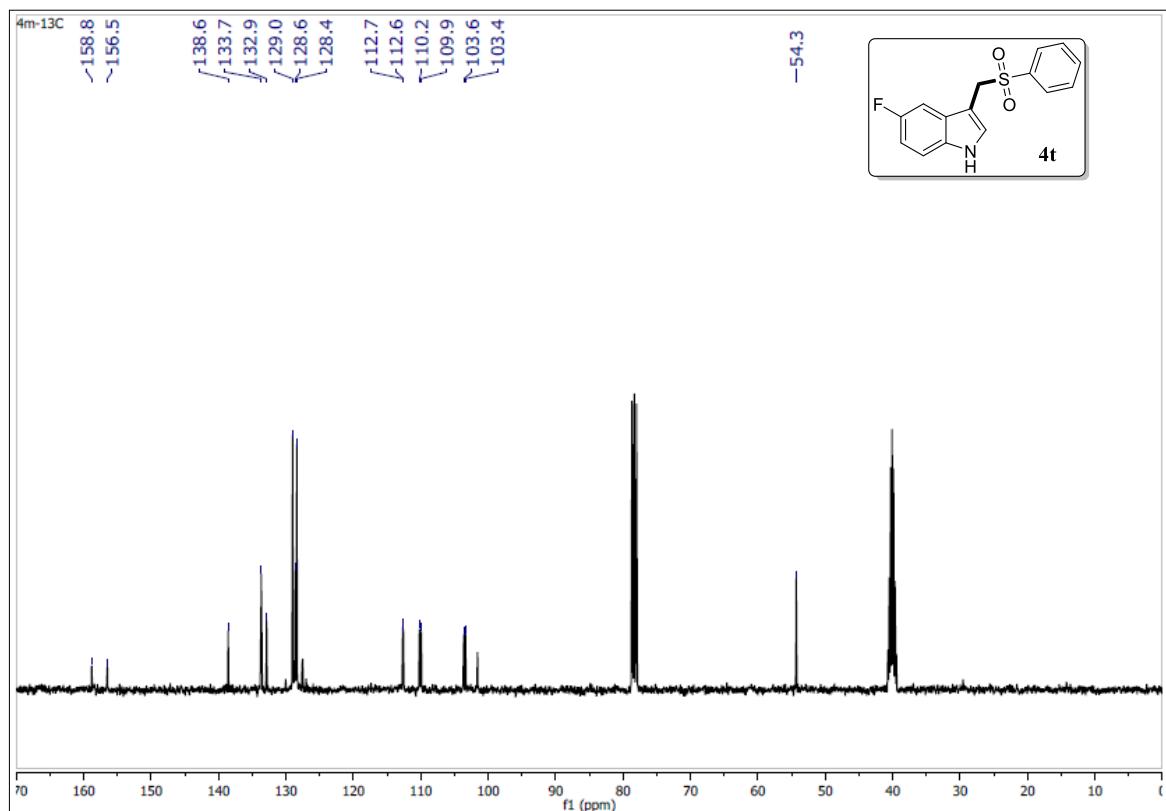
**Mass spectrum of 5-methoxy-3-((phenylsulfonyl)methyl)-1*H*-indole(4s)**



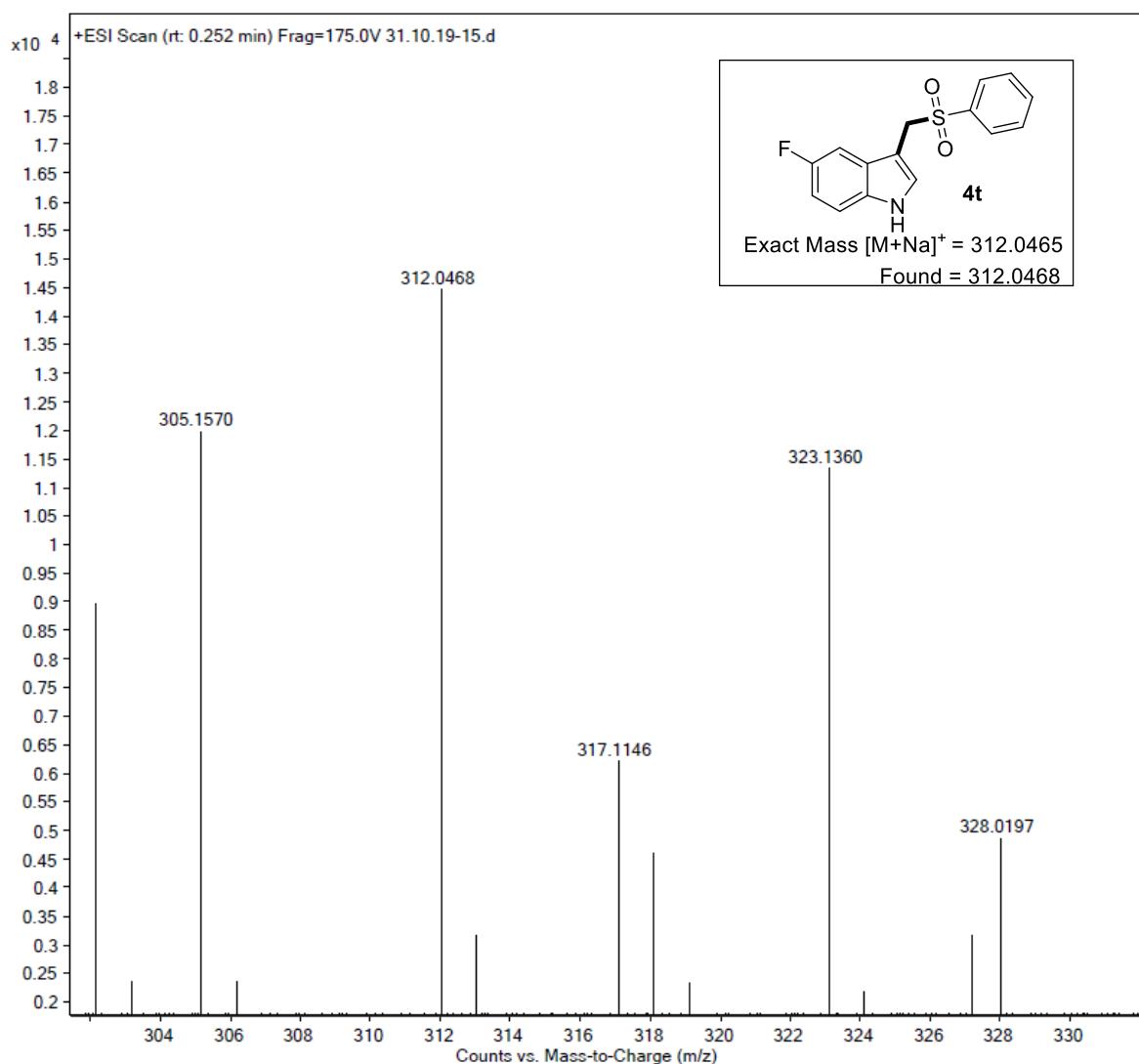
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 5-fluoro-3-((phenylsulfonyl)methyl)-1*H*-indole (4t)**



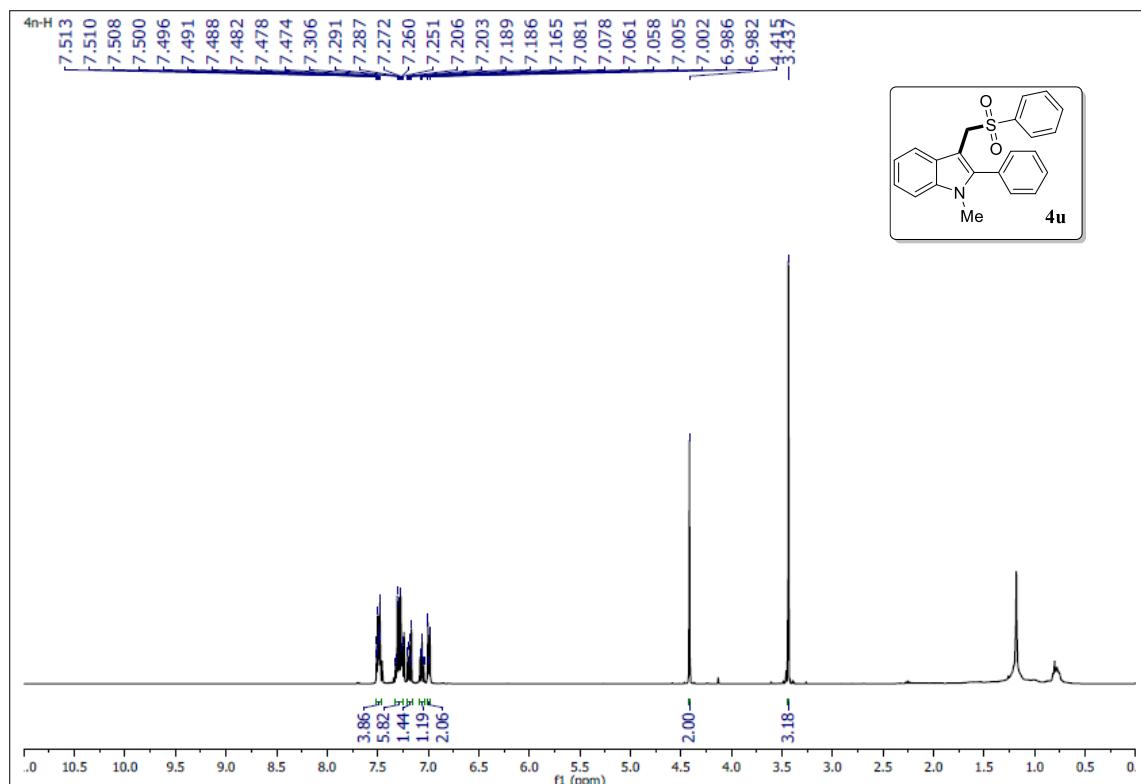
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub> + CDCl<sub>3</sub>) of 5-fluoro-3-((phenylsulfonyl)methyl)-1*H*-indole (4t)**



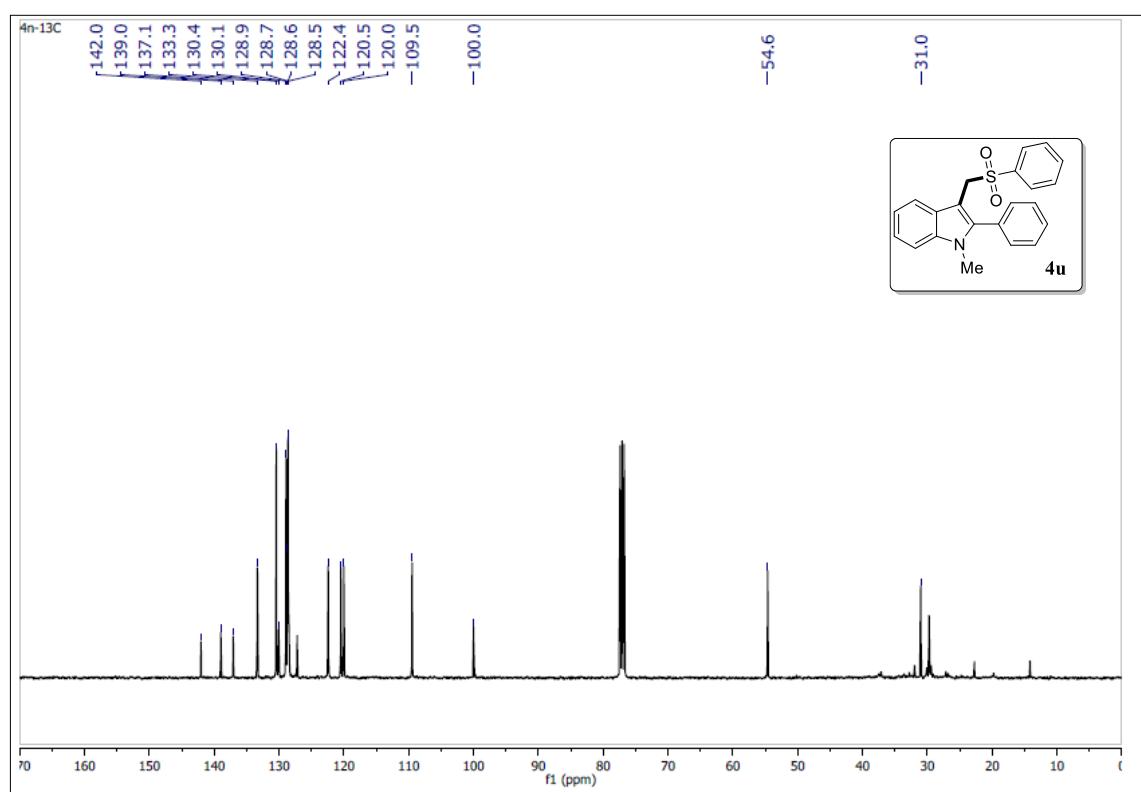
**Mass spectrum of 5-fluoro-3-((phenylsulfonyl)methyl)-1*H*-indole (**4t**)**



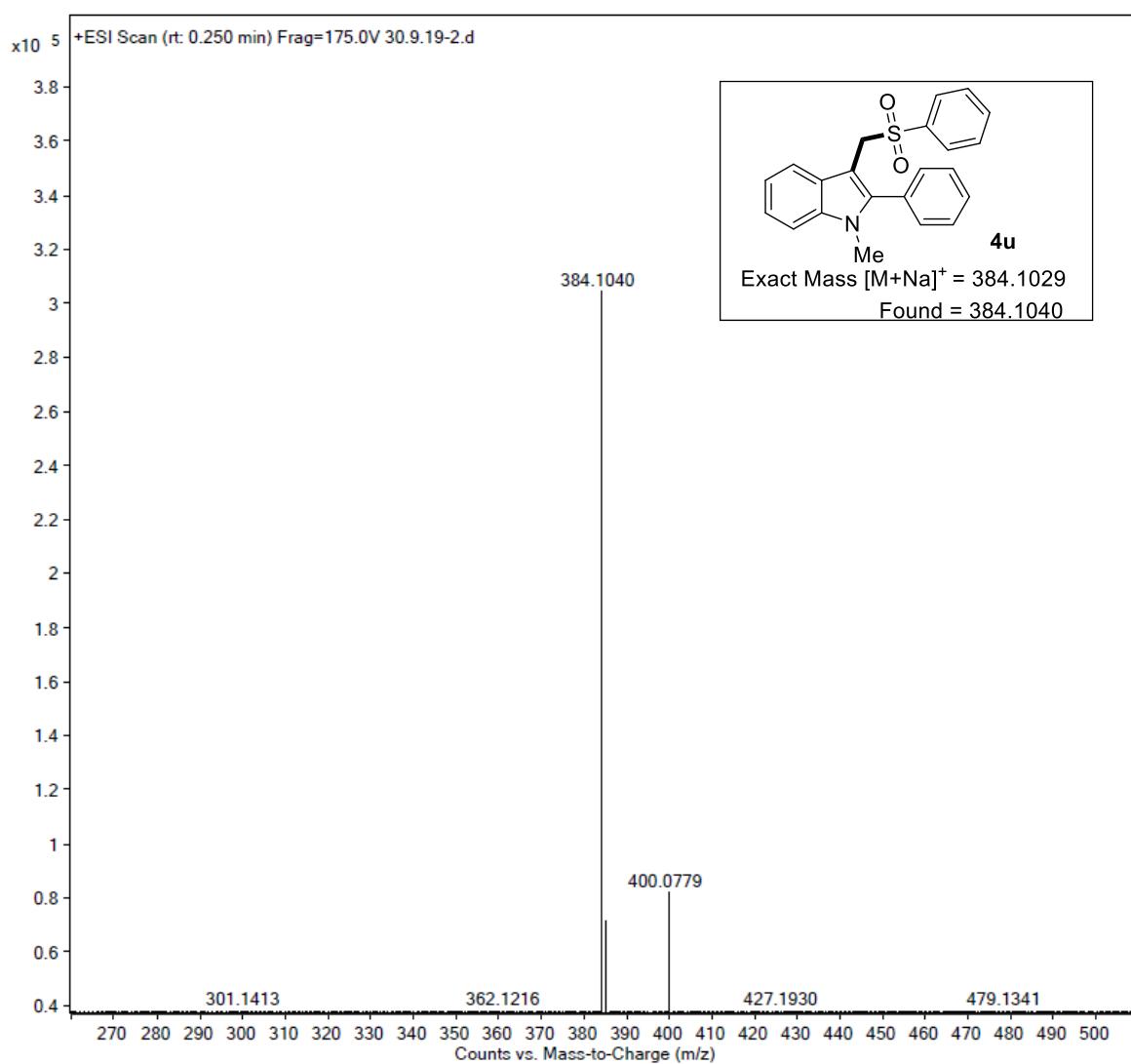
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 1-methyl-2-phenyl-3-((phenylsulfonyl)methyl)-1*H*-indole (4u)**



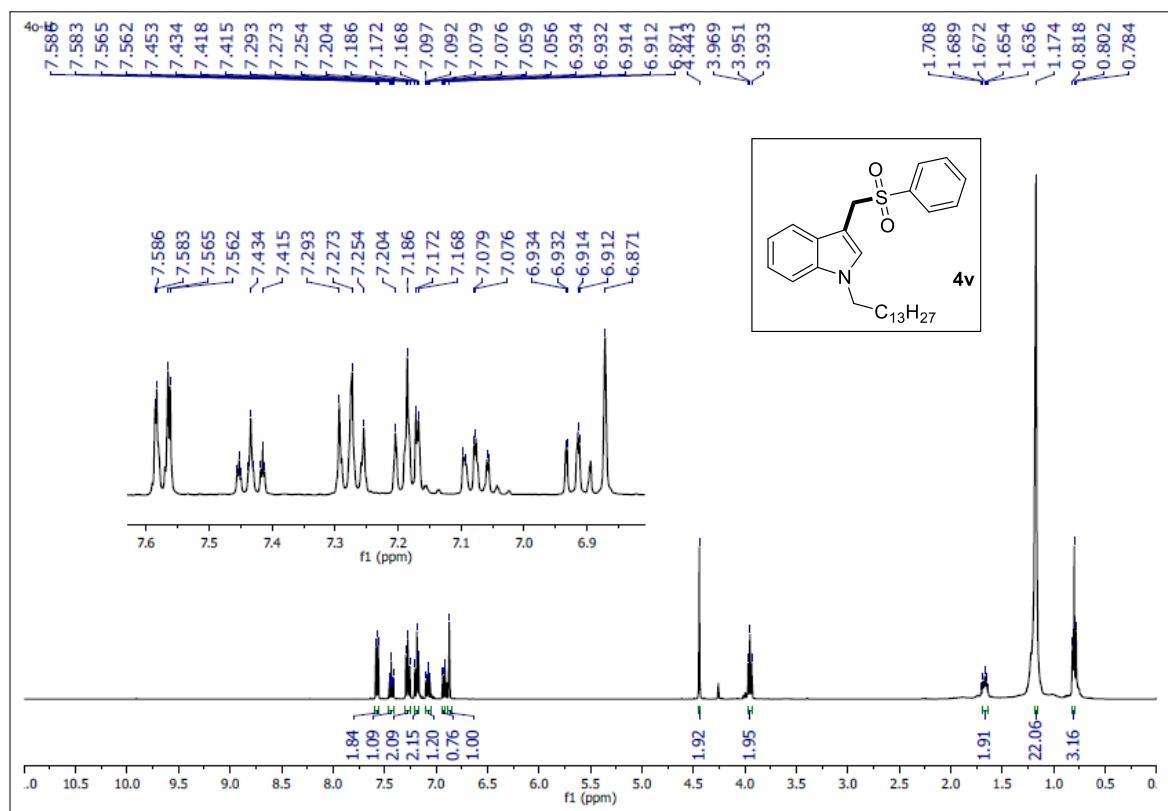
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 1-methyl-2-phenyl-3-((phenylsulfonyl)methyl)-1*H*-indole (4u)**



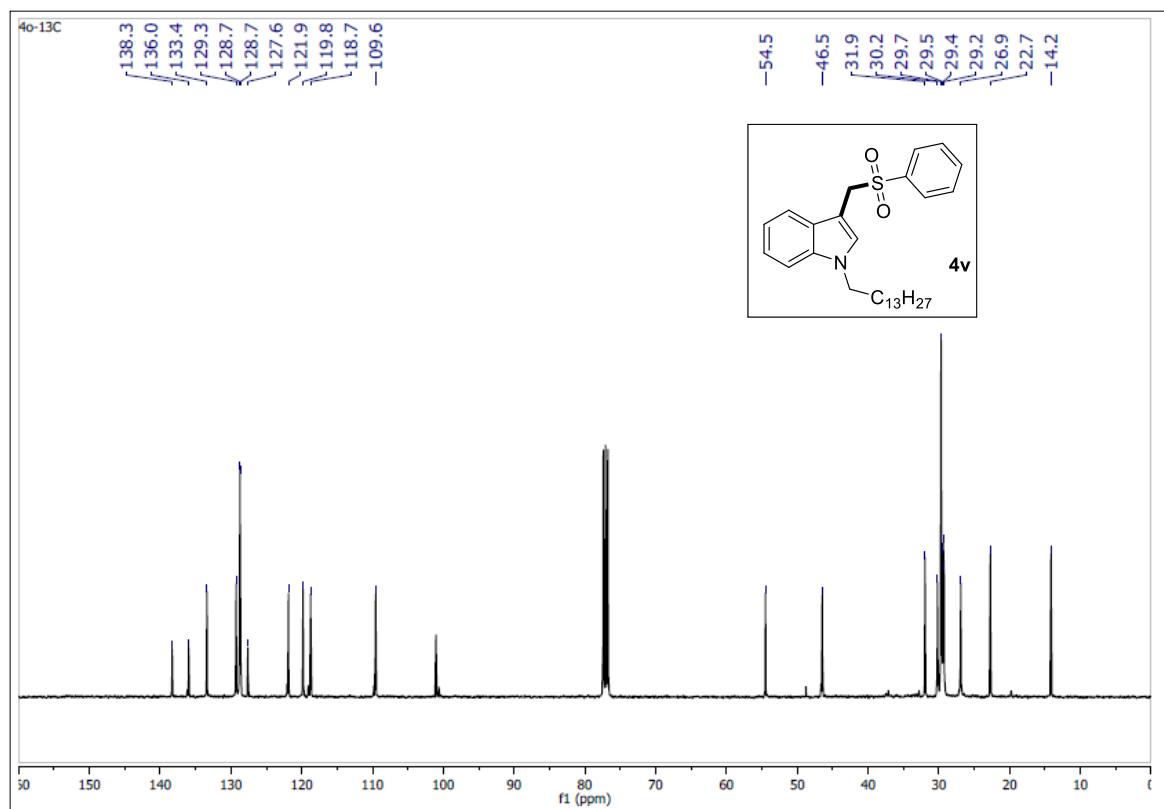
**Mass spectrum of 1-methyl-2-phenyl-3-((phenylsulfonyl)methyl)-1*H*-indole (4u)**



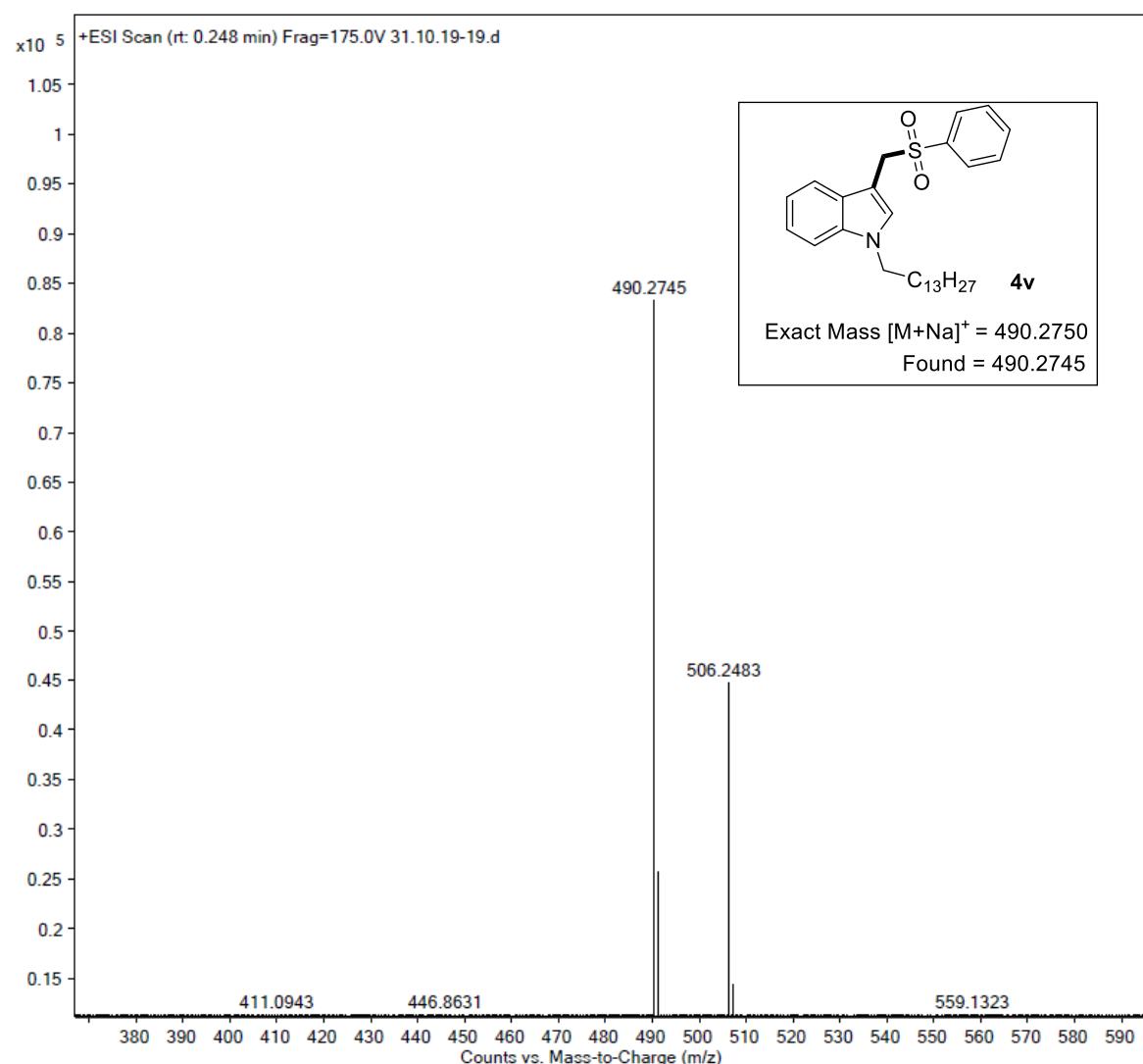
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 3-((phenylsulfonyl)methyl)-1-tetradecyl-1*H*-indole (4v)



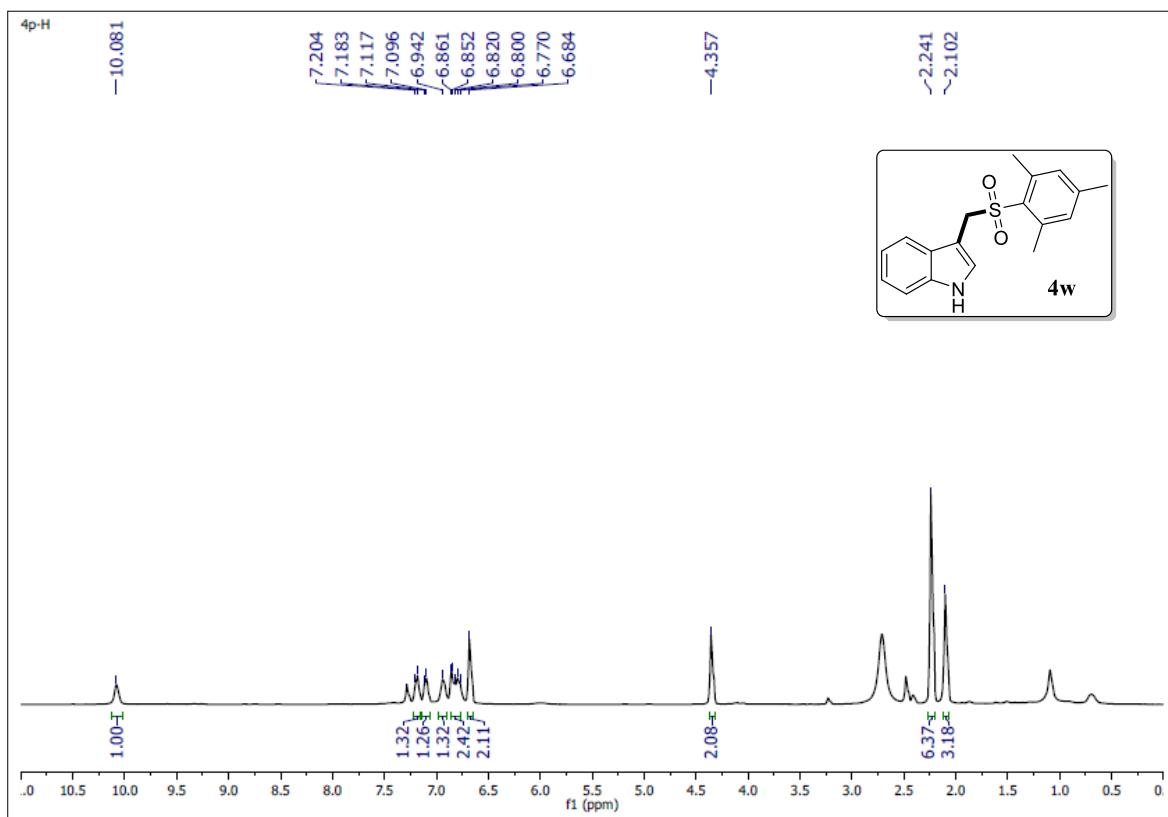
<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 3-((phenylsulfonyl)methyl)-1-tetradecyl-1*H*-indole (**4v**)



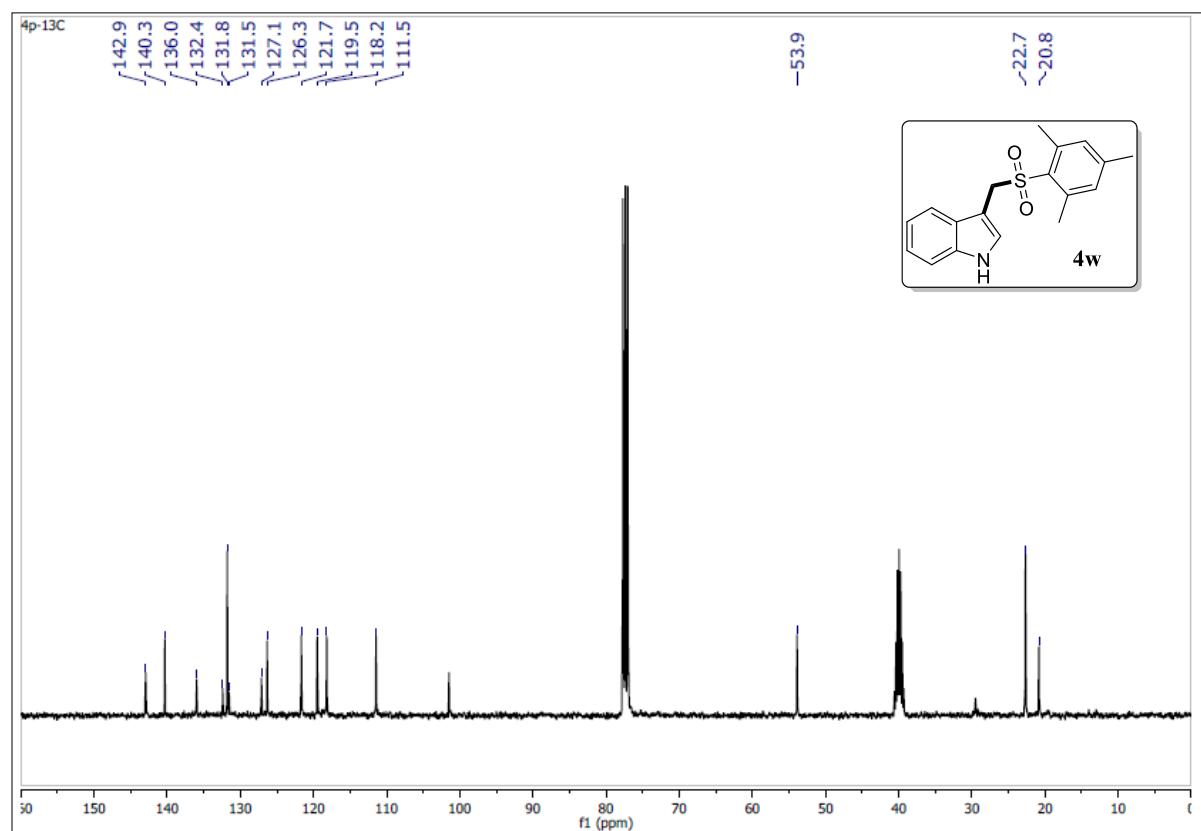
**Mass spectrum of 3-((phenylsulfonyl)methyl)-1-tetradecyl-1*H*-indole (**4v**)**



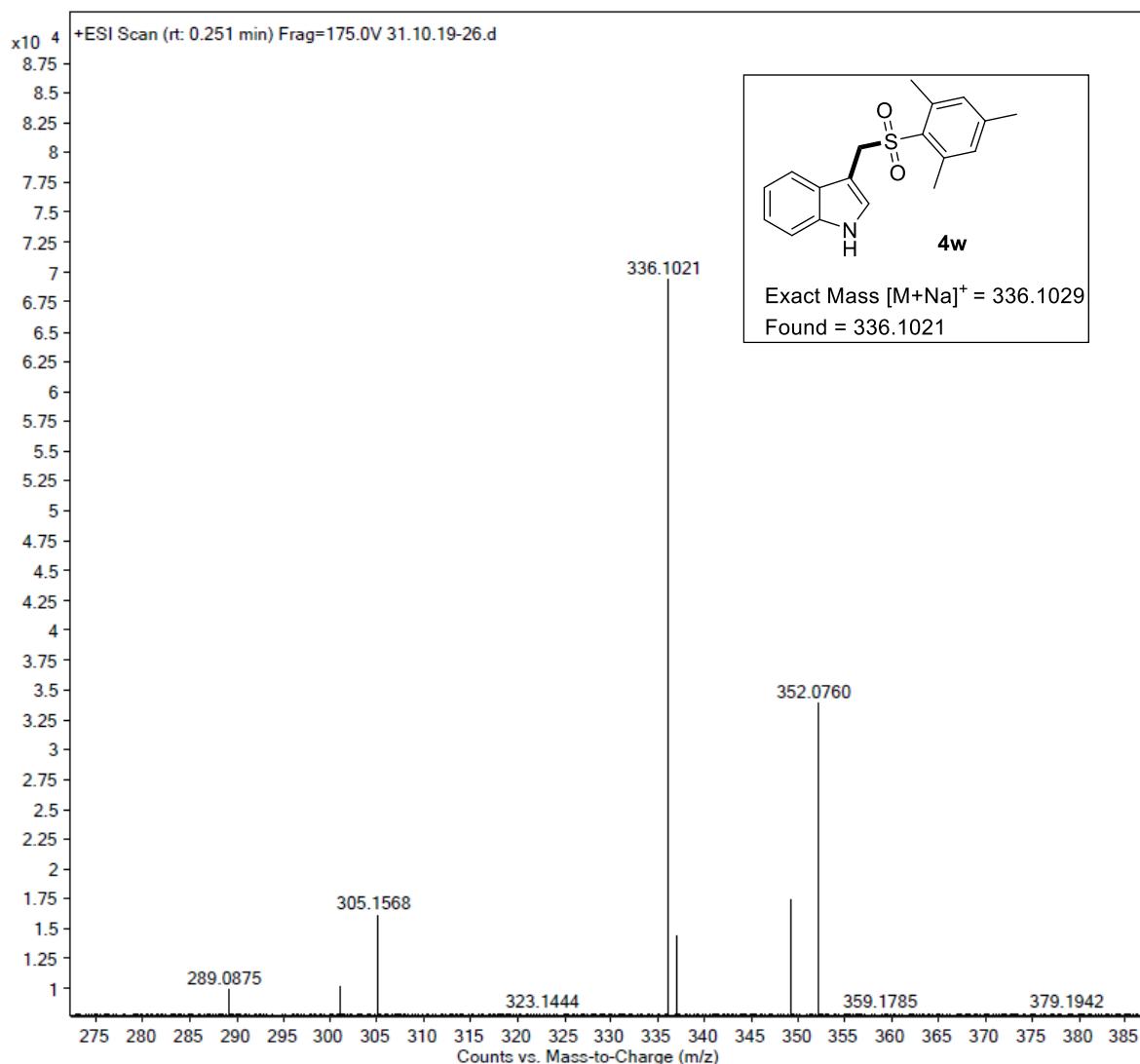
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 3-((mesitylsulfonyl)methyl)-1*H*-indole (4w)**



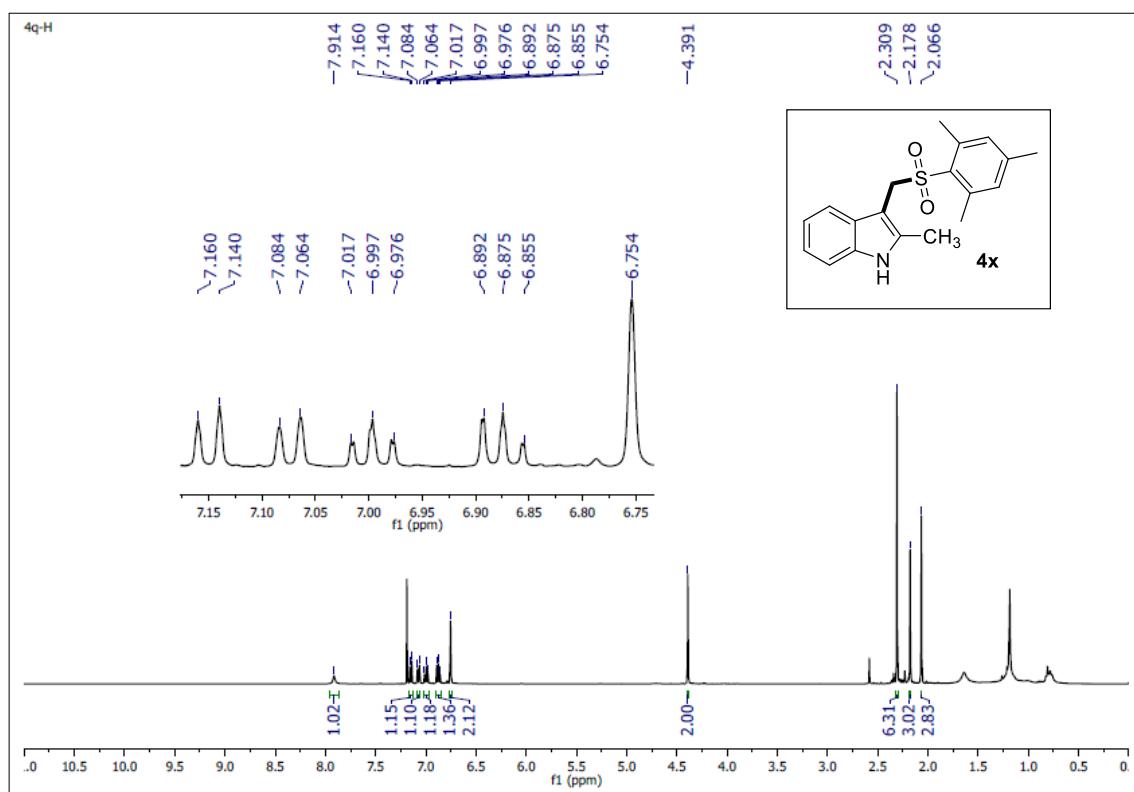
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub> + CDCl<sub>3</sub>) of 3-((mesitylsulfonyl)methyl)-1*H*-indole (4w)**



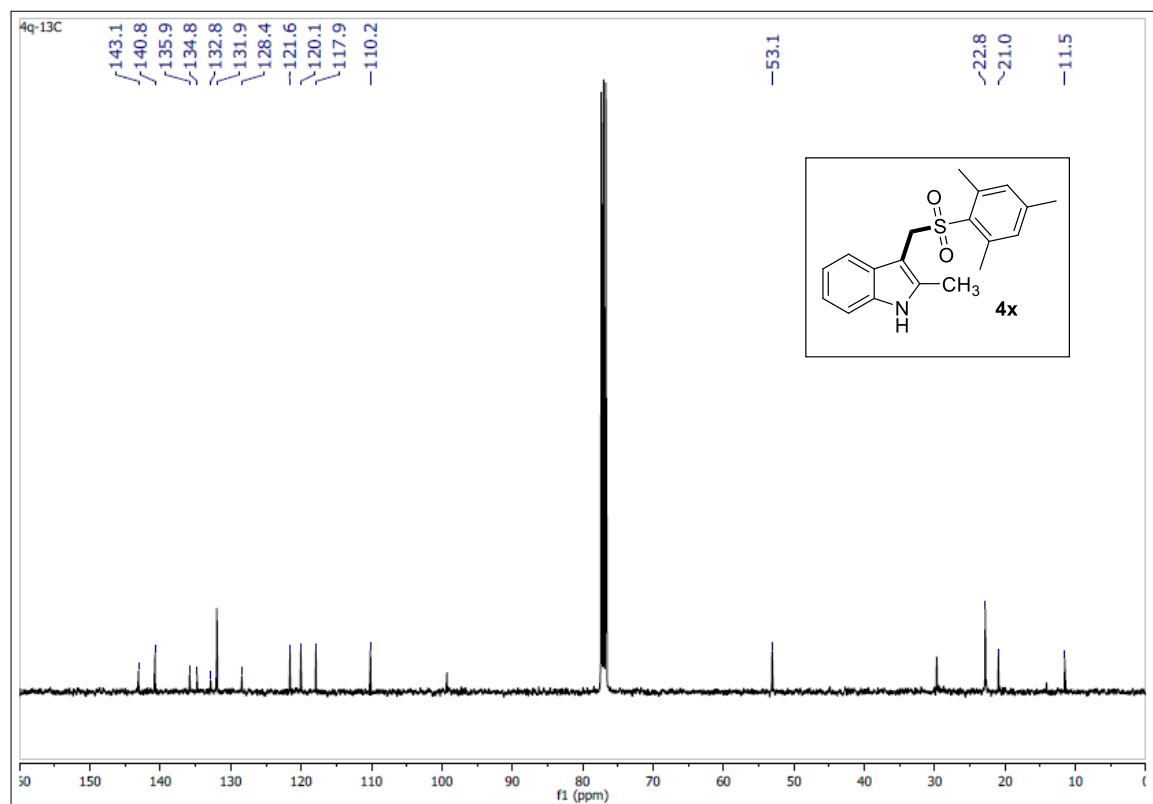
**Mass spectrum of 3-((mesitylsulfonyl)methyl)-1*H*-indole (**4w**)**



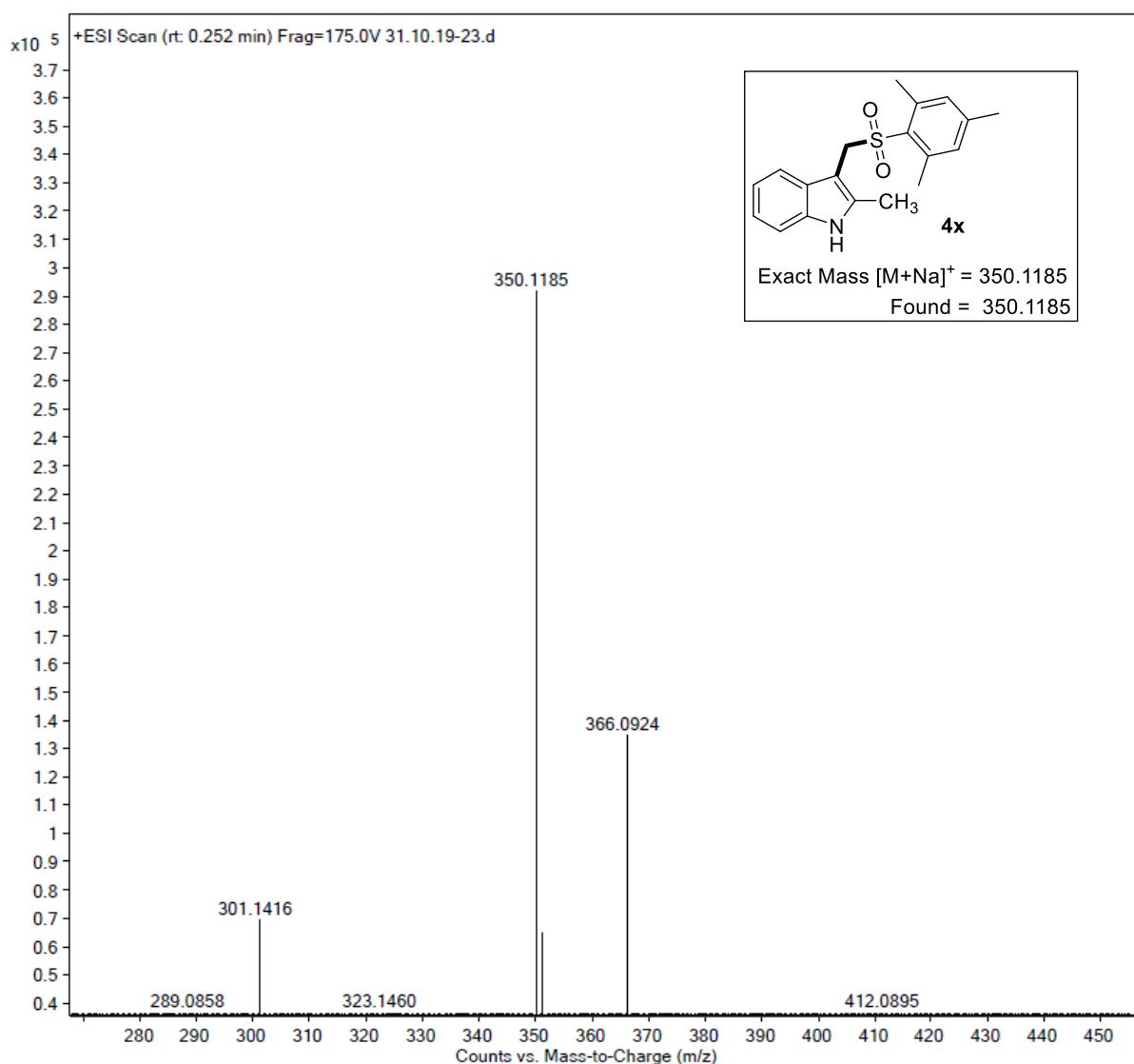
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 3-((mesitylsulfonyl)methyl)-2-methyl-1*H*-indole (4x)**



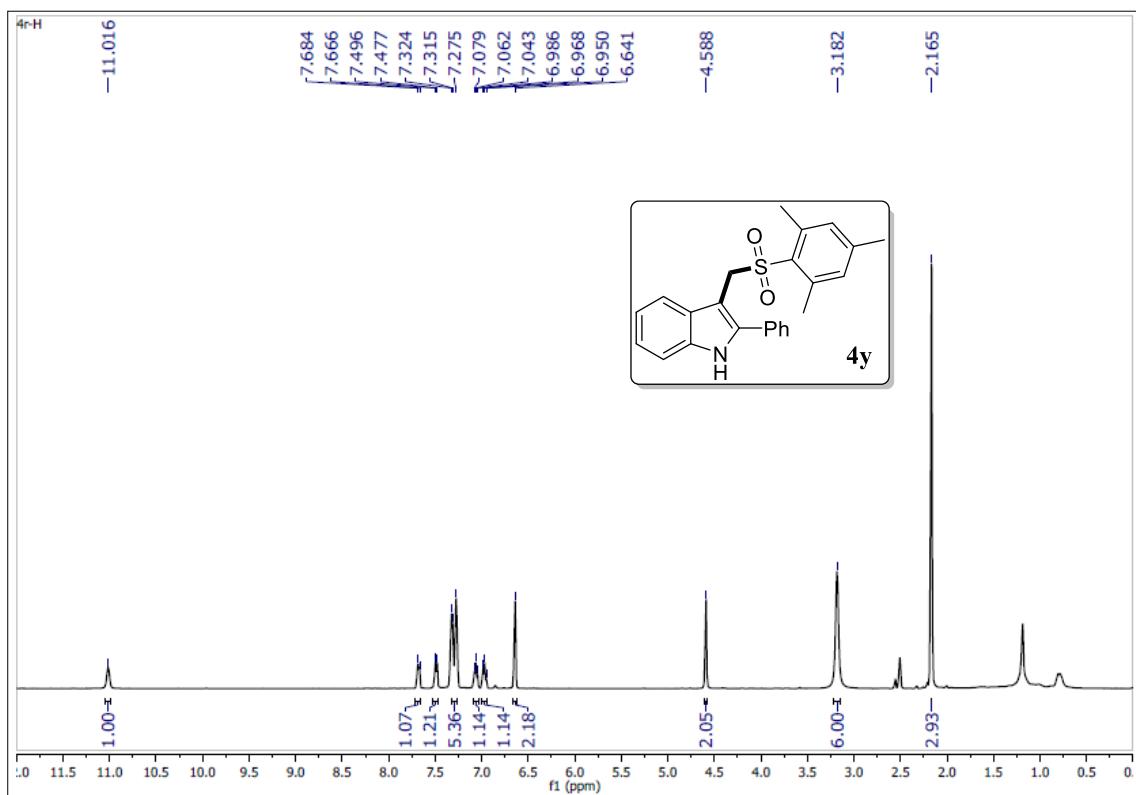
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 3-((mesitylsulfonyl)methyl)-2-methyl-1*H*-indole (4x)**



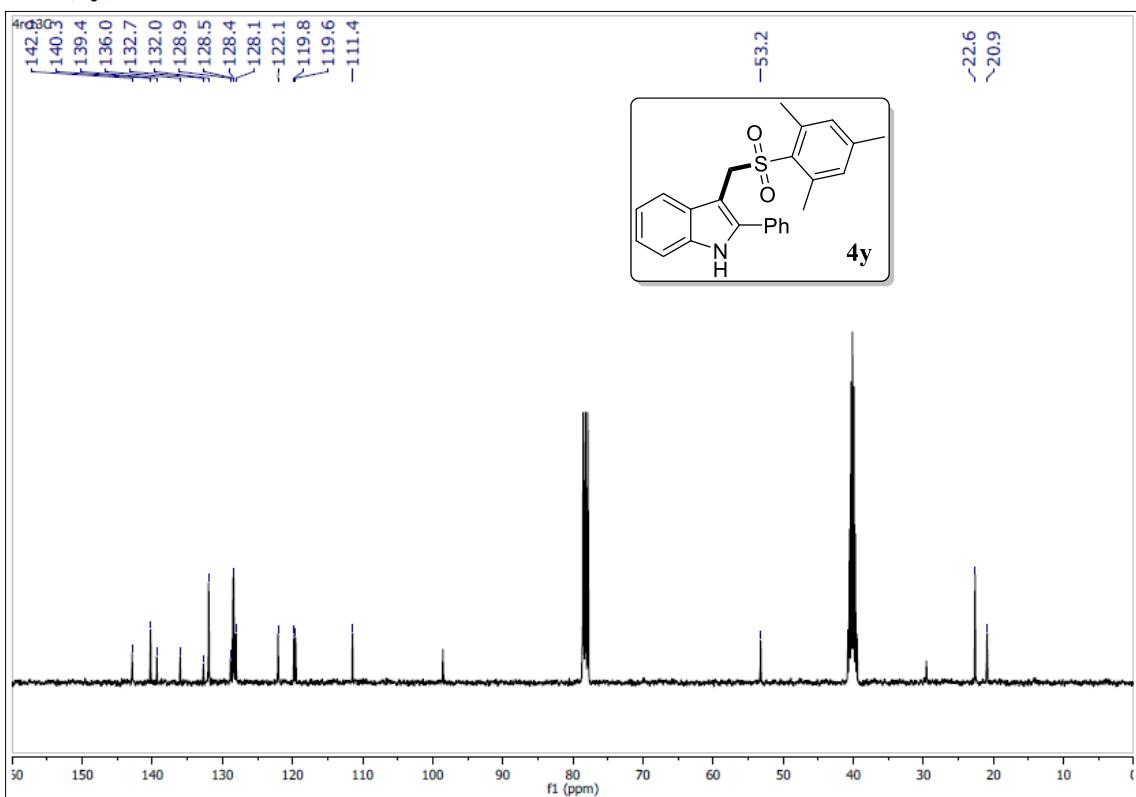
**Mass spectrum of 3-((mesitylsulfonyl)methyl)-2-methyl-1*H*-indole (**4x**)**



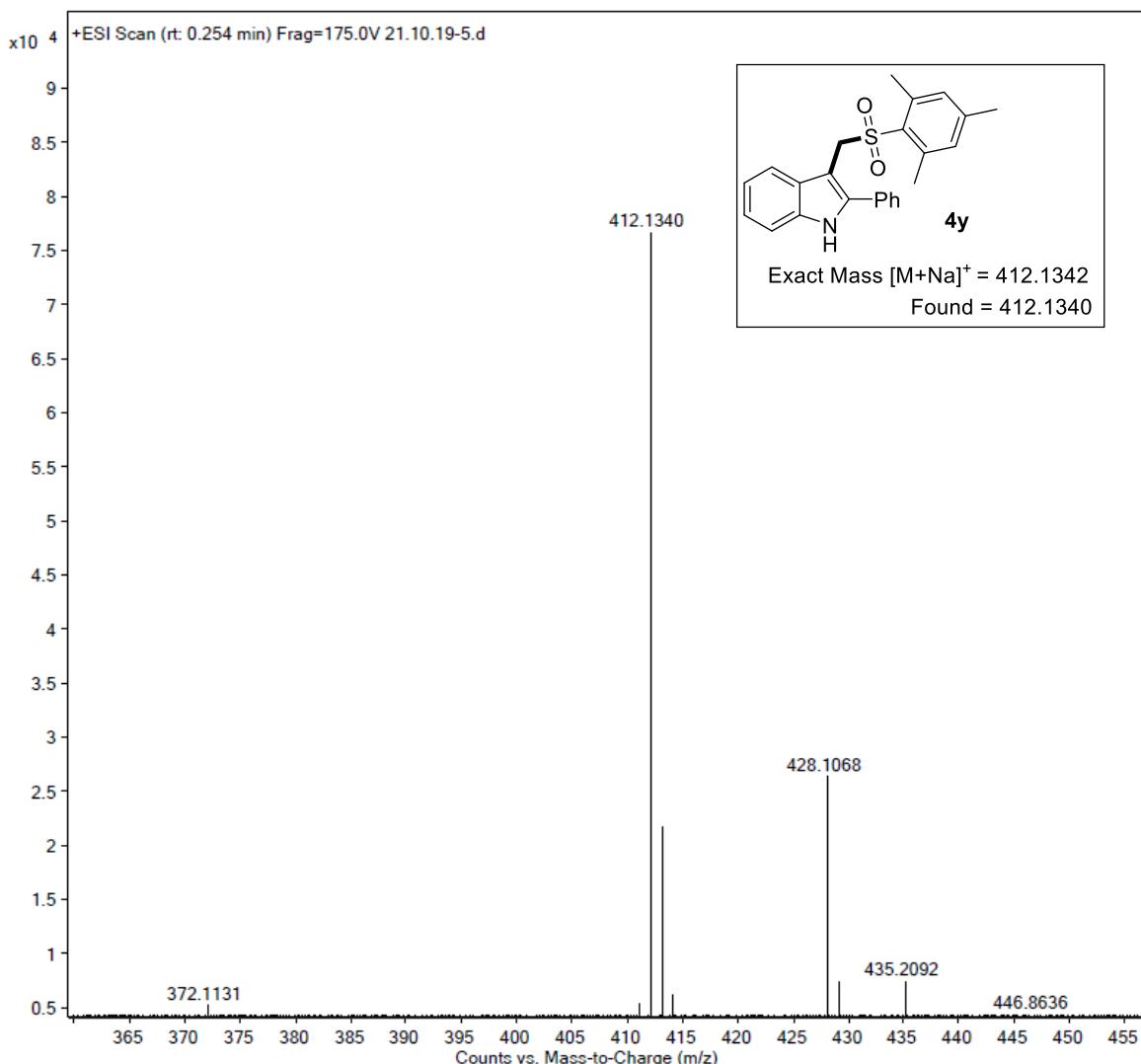
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 3-((mesitylsulfonyl)methyl)-2-phenyl-1*H*-indole (4y)**



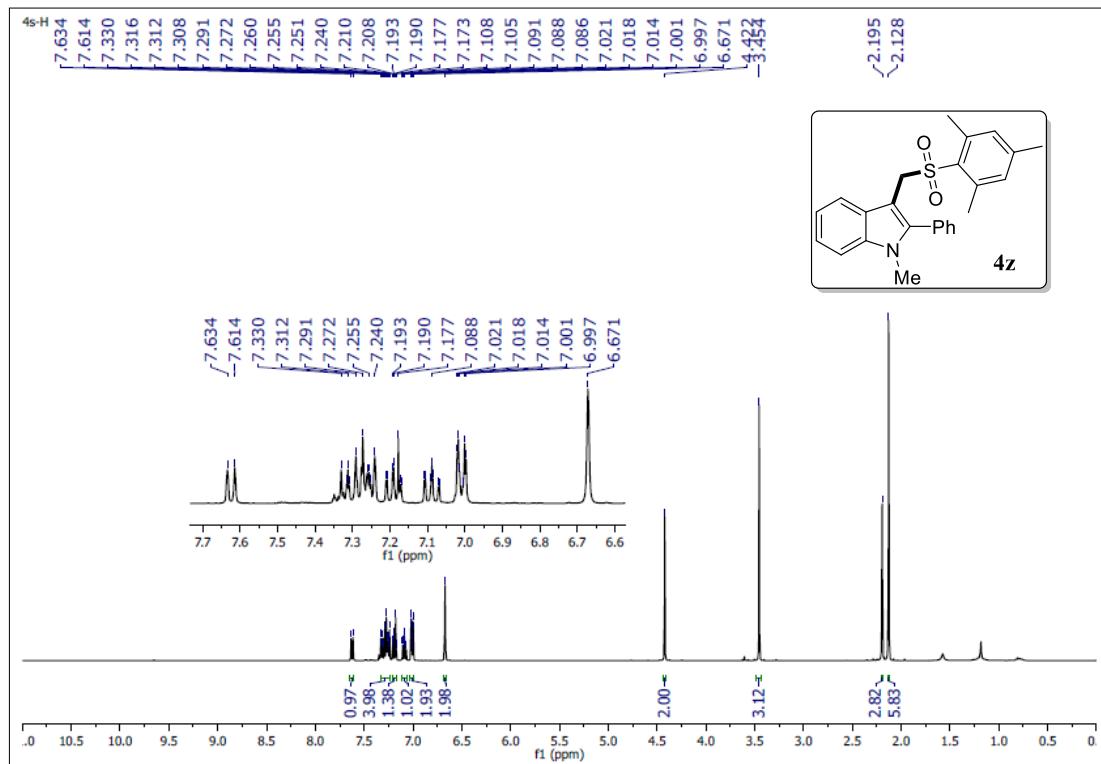
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub> + CDCl<sub>3</sub>) of 3-((mesitylsulfonyl)methyl)-2-phenyl-1*H*-indole (4y)**



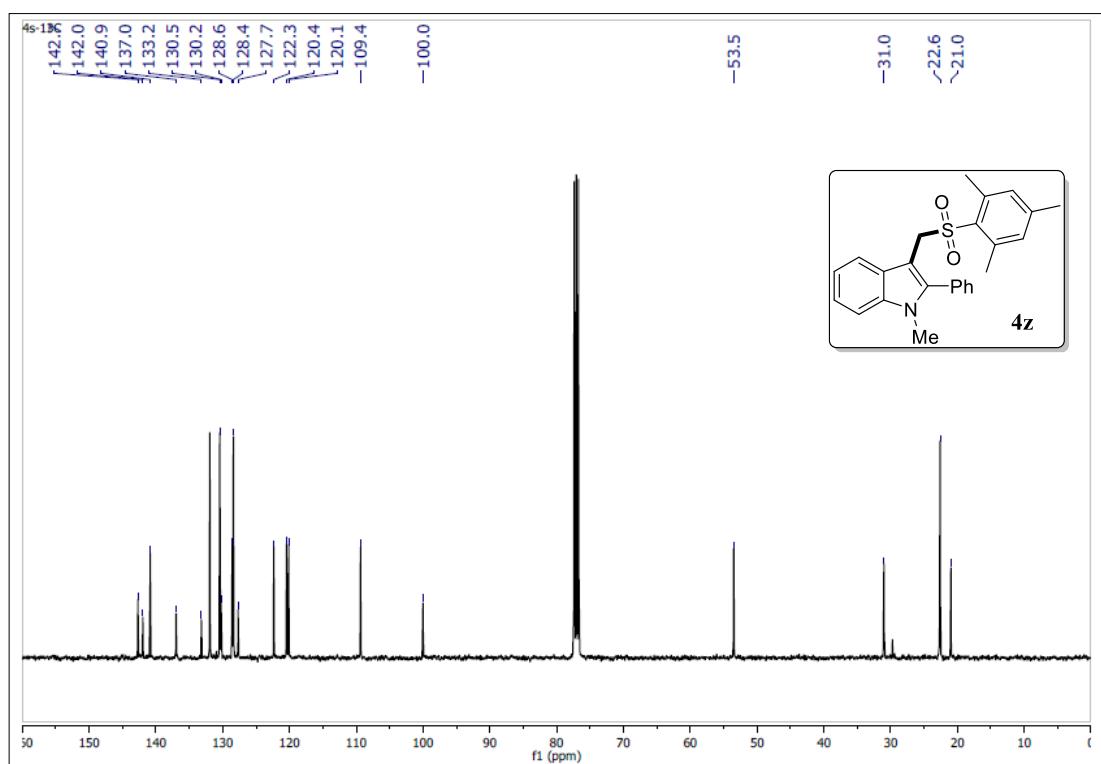
**Mass spectrum of 3-((mesitylsulfonyl)methyl)-2-phenyl-1*H*-indole (**4y**)**



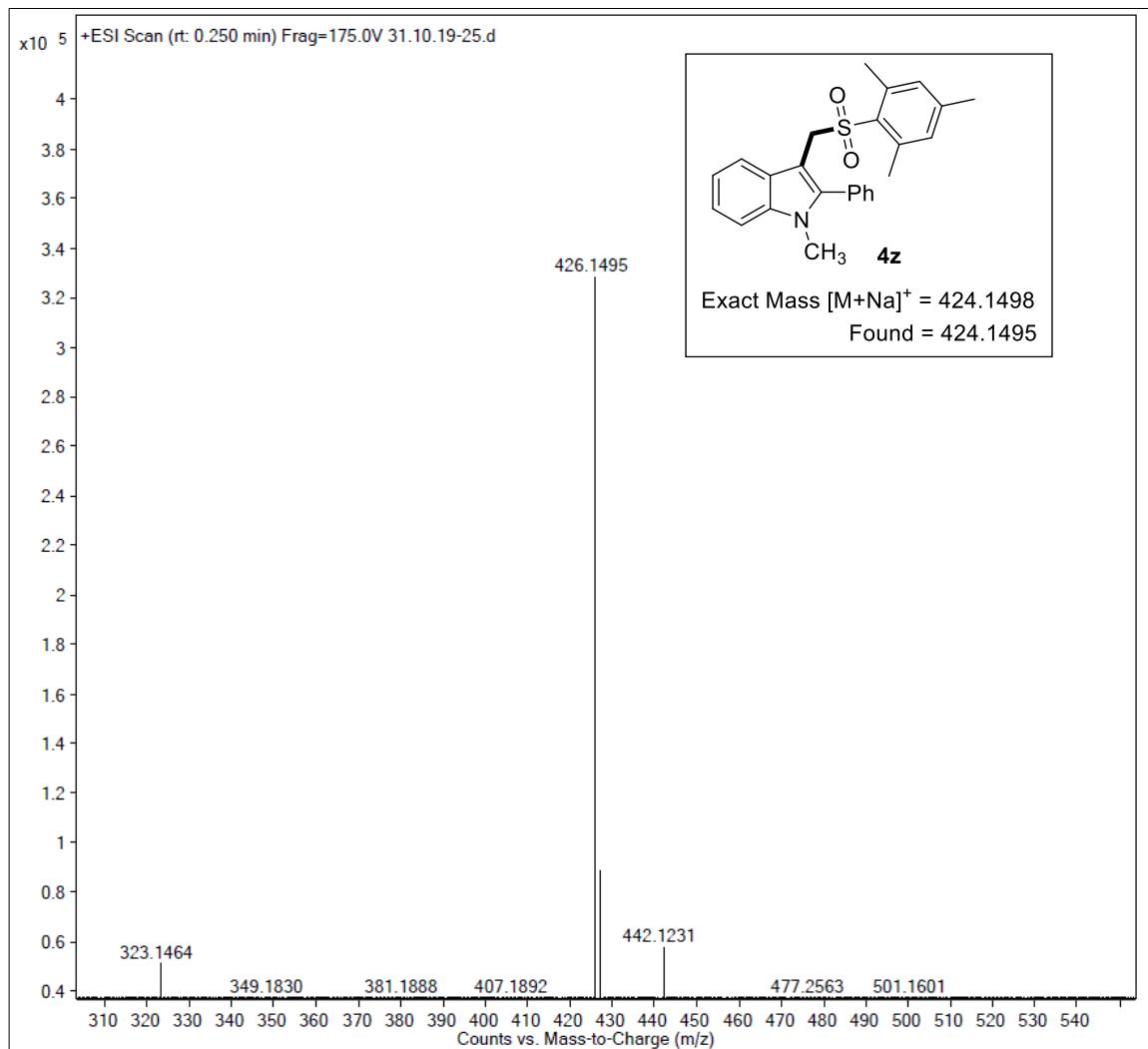
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 3-((mesylsulfonyl)methyl)-1-methyl-2-phenyl-1*H*-indole (4z)**



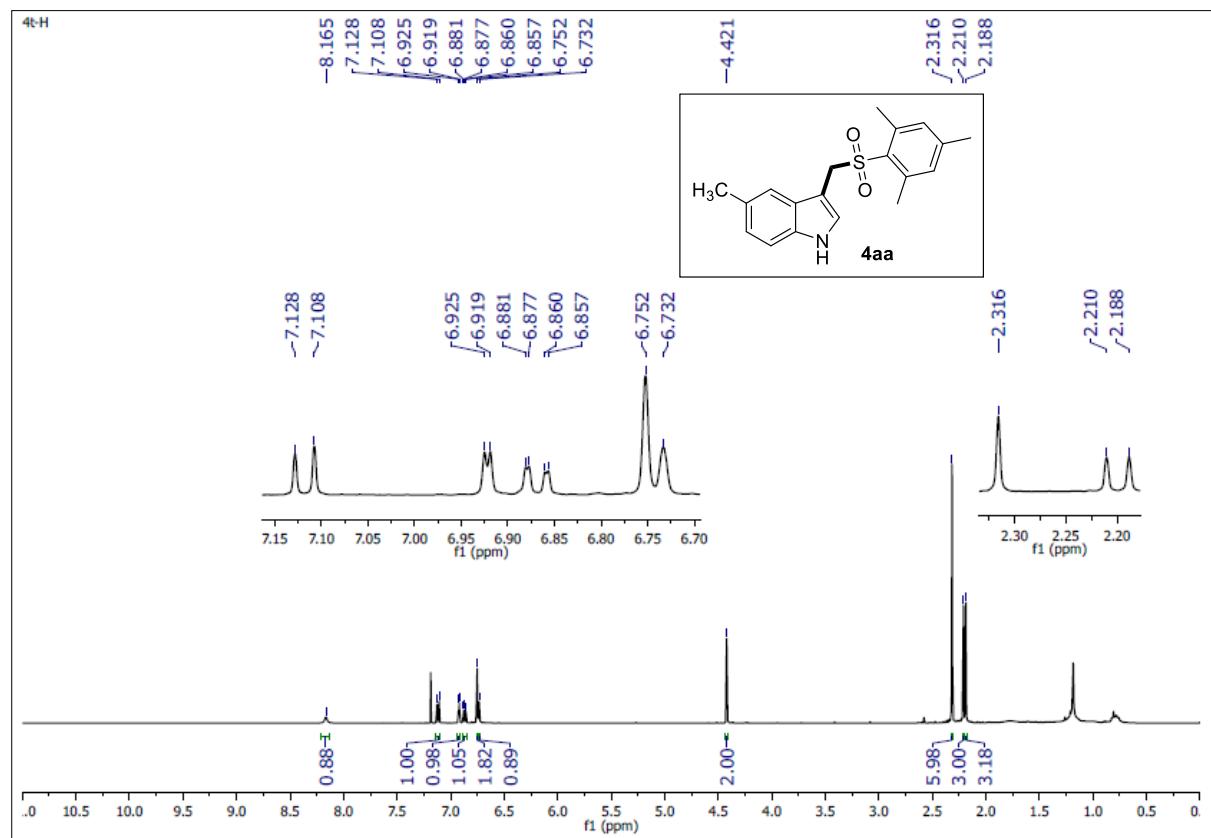
**$^{13}\text{C}\{\text{H}\}$  NMR (100 MHz,  $\text{CDCl}_3$ ) of 3-((mesylsulfonyl)methyl)-1-methyl-2-phenyl-1*H*-indole (**4z**)**



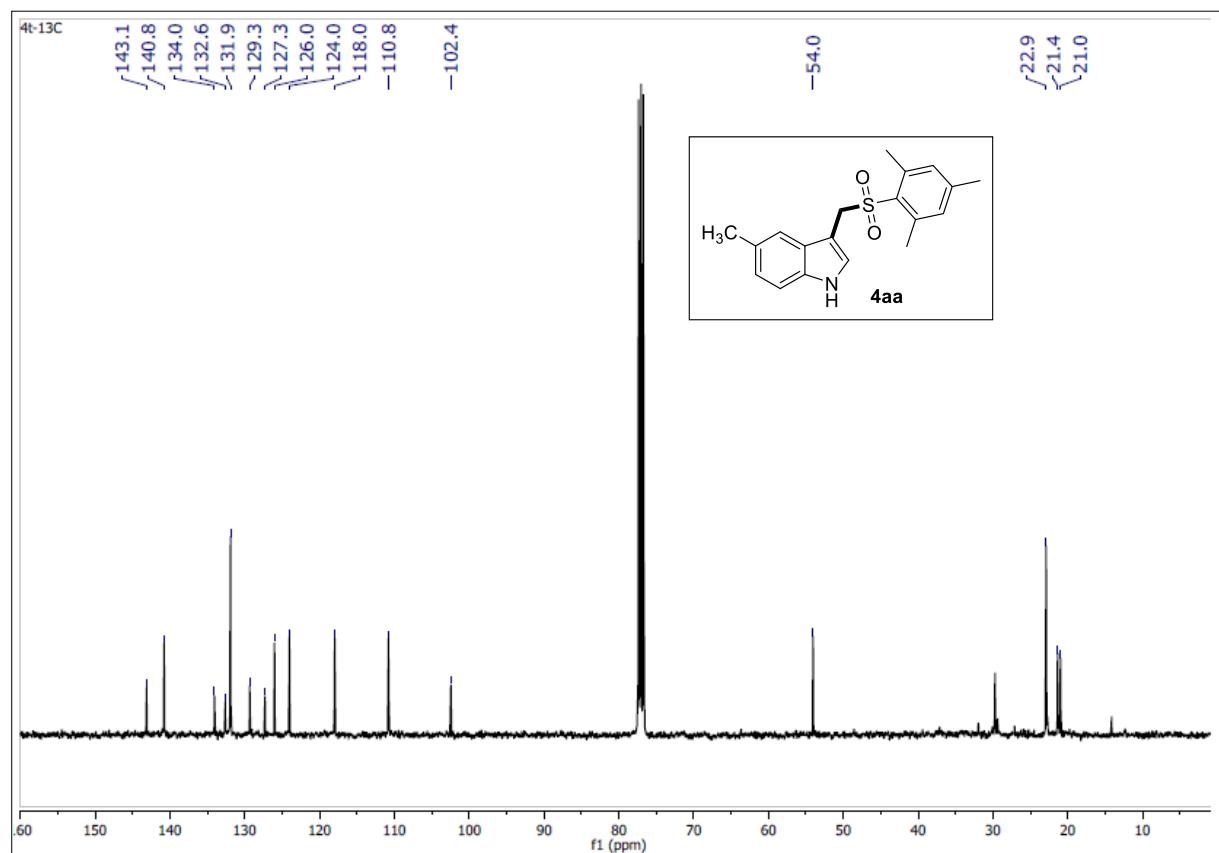
**Mass spectrum of 3-((mesitylsulfonyl)methyl)-1-methyl-2-phenyl-1*H*-indole (**4z**)**



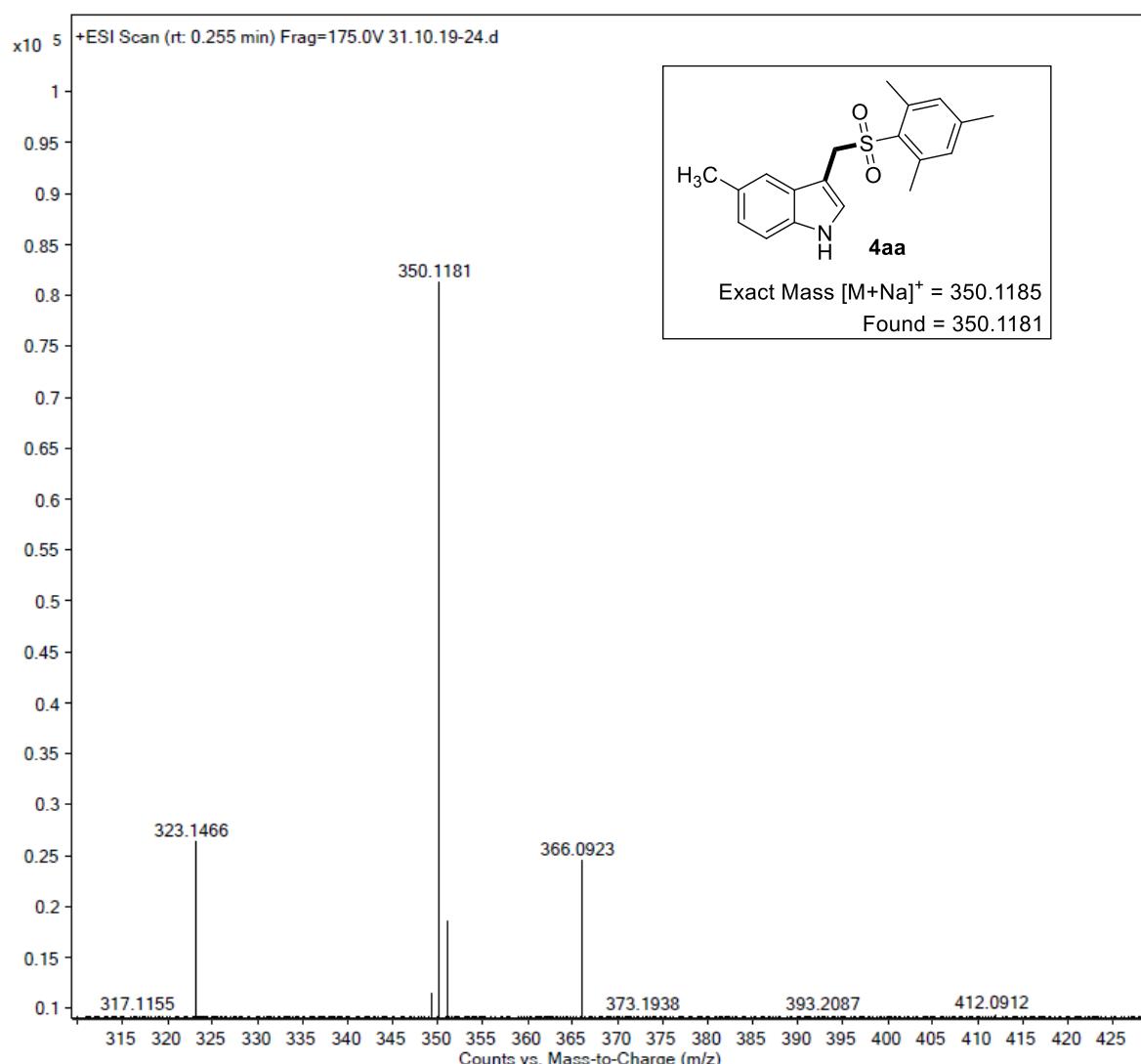
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 3-((mesitylsulfonyl)methyl)-5-methyl-1*H*-indole (4aa)**



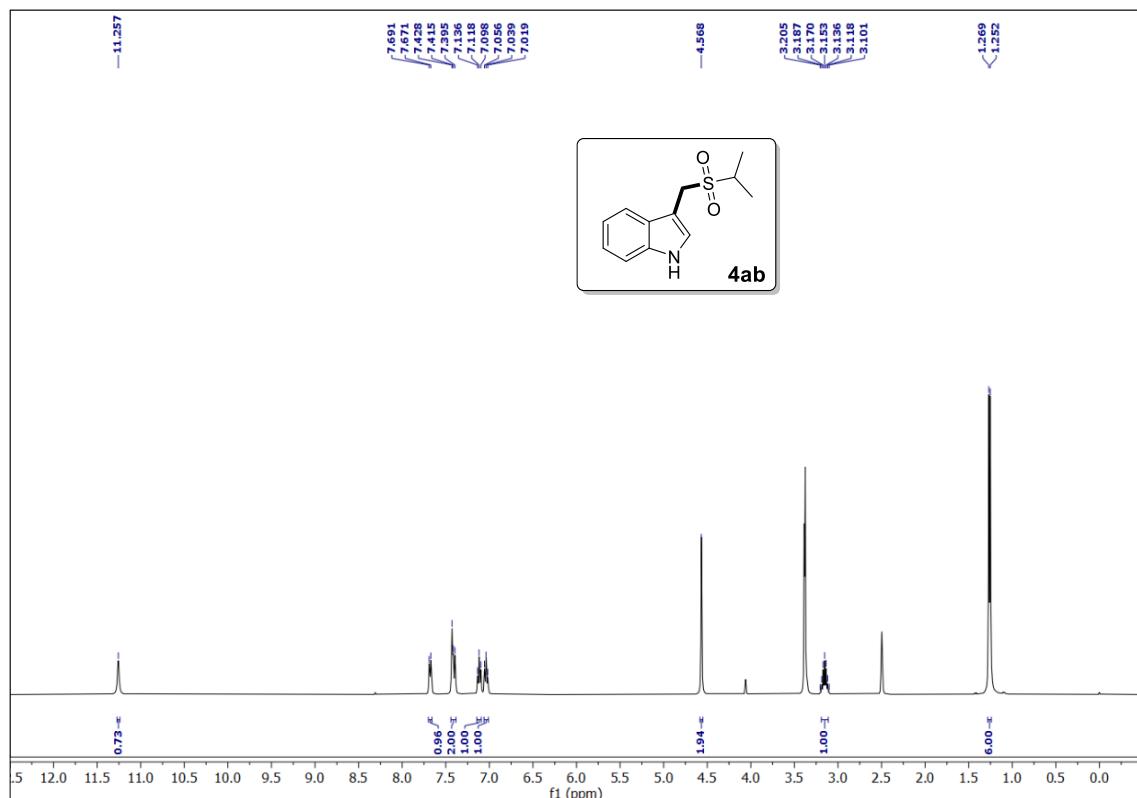
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 3-((mesitylsulfonyl)methyl)-5-methyl-1*H*-indole (4aa)**



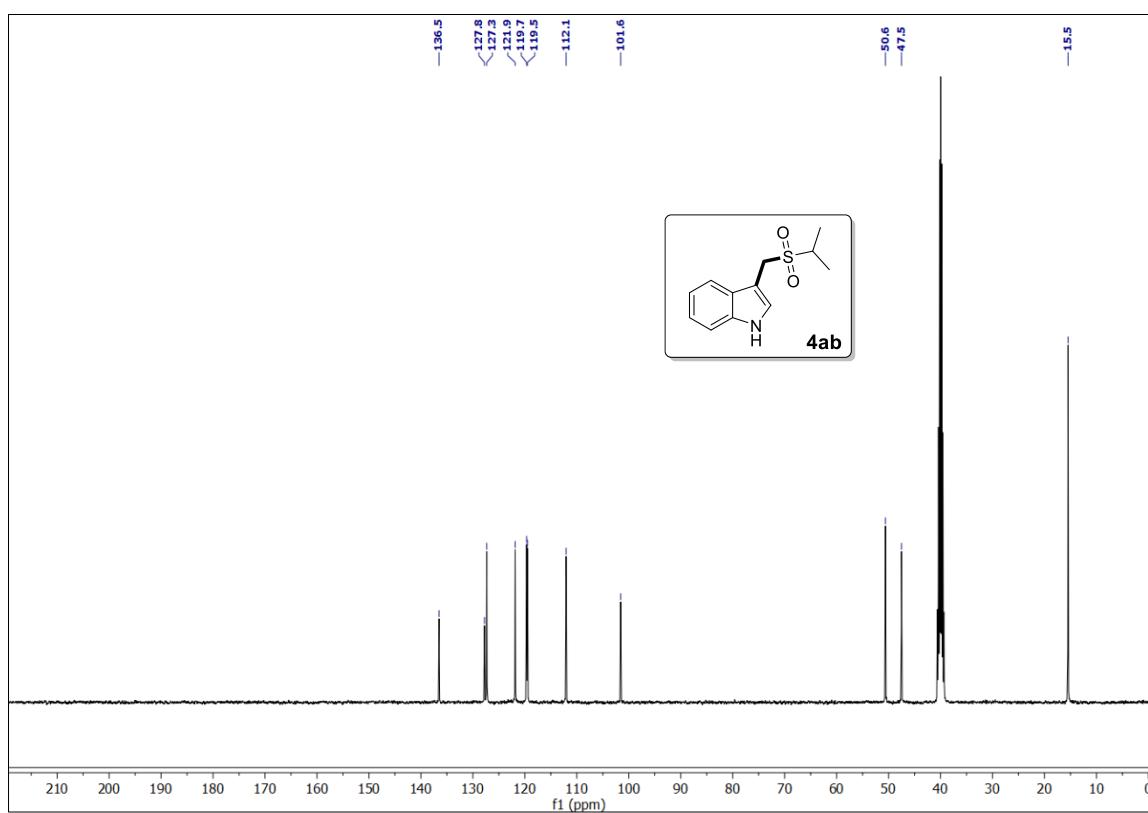
### Mass spectrum of 3-((mesylsulfonyl)methyl)-5-methyl-1*H*-indole (4aa)



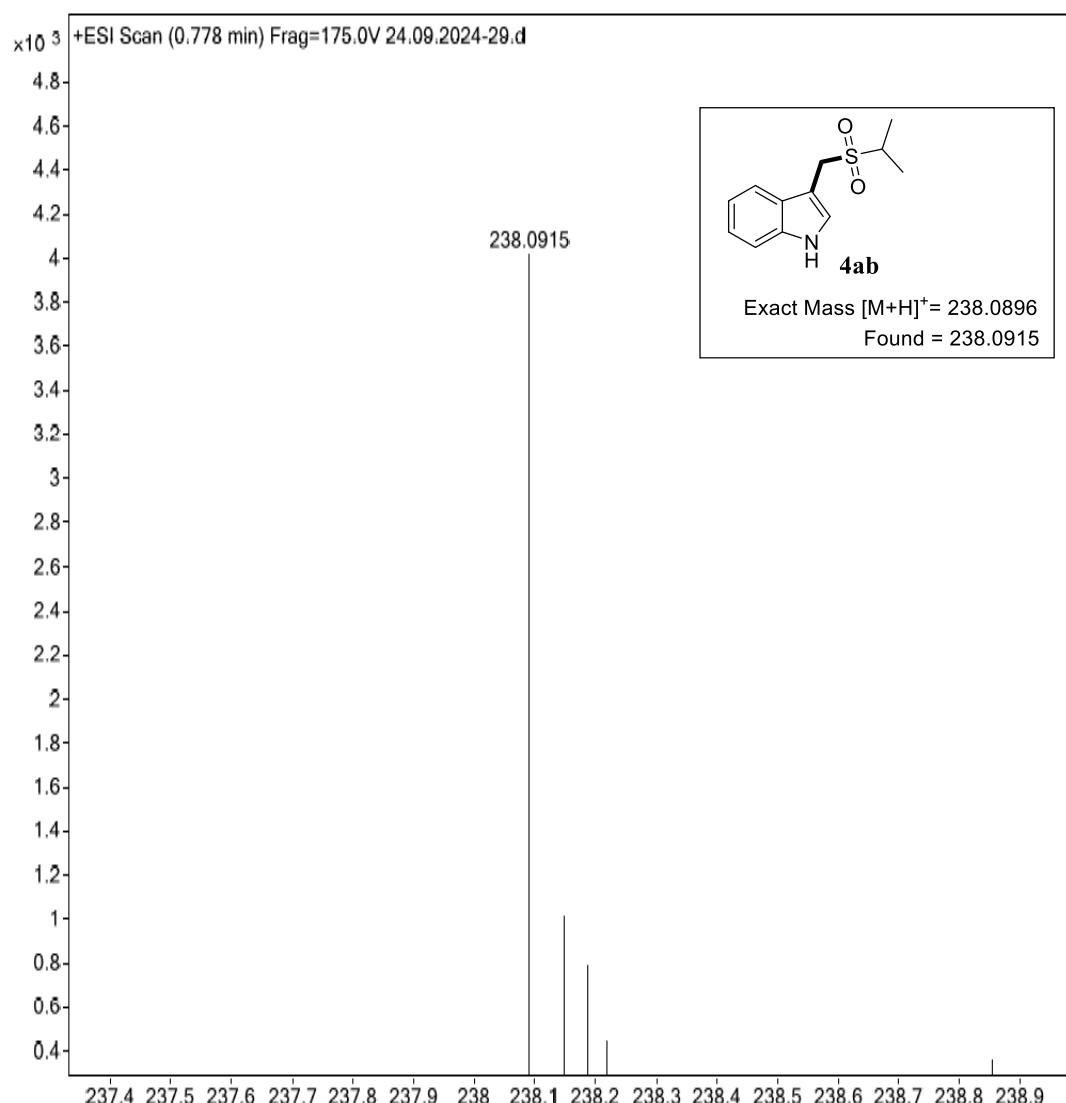
**<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) of 3-((isopropylsulfonyl)methyl)-5-methyl-1*H*-indole (4ab)**



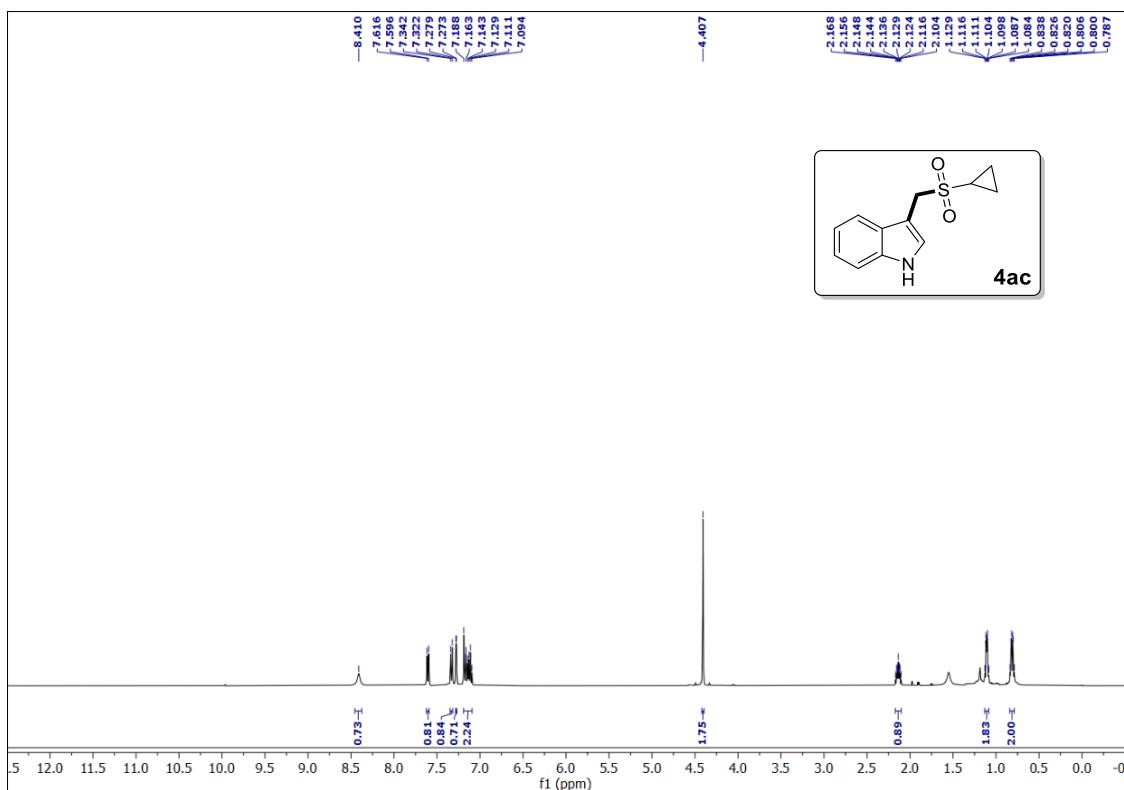
**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, DMSO-*d*<sub>6</sub>) of 3-((isopropylsulfonyl)methyl)-5-methyl-1*H*-indole (4ab)**



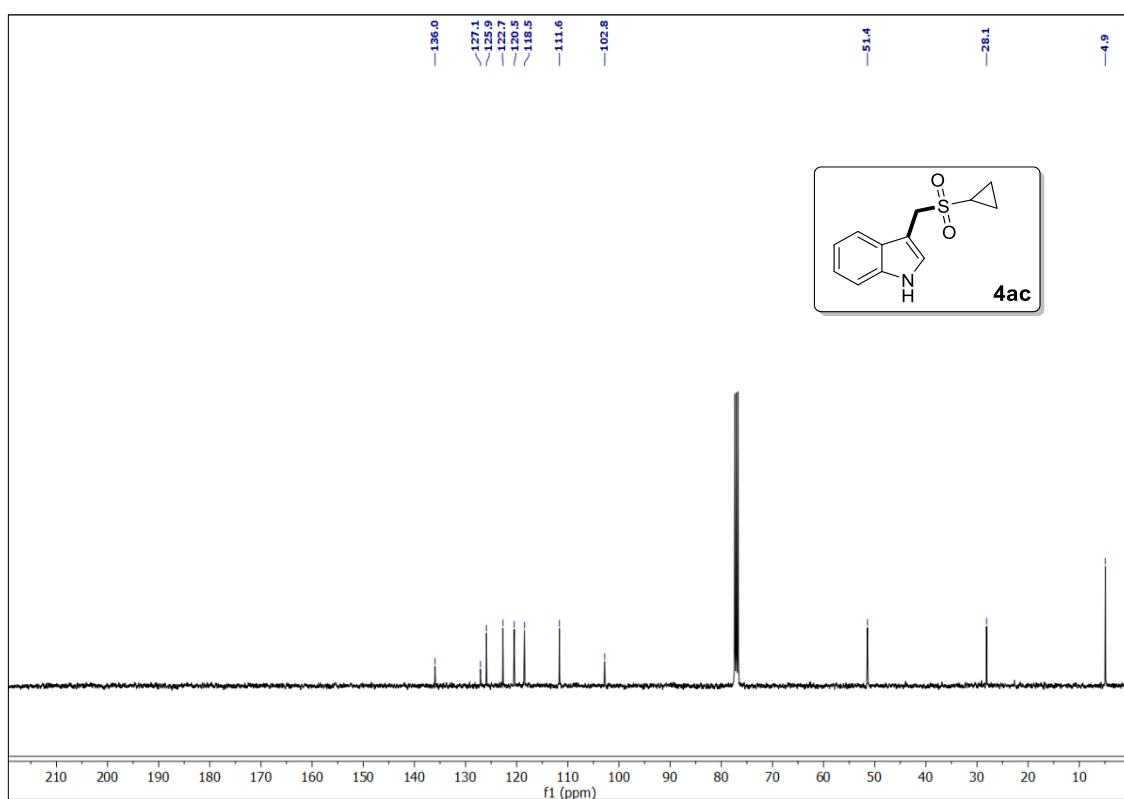
**Mass spectrum of 3-((isopropylsulfonyl)methyl)-5-methyl-1*H*-indole (4ab)**



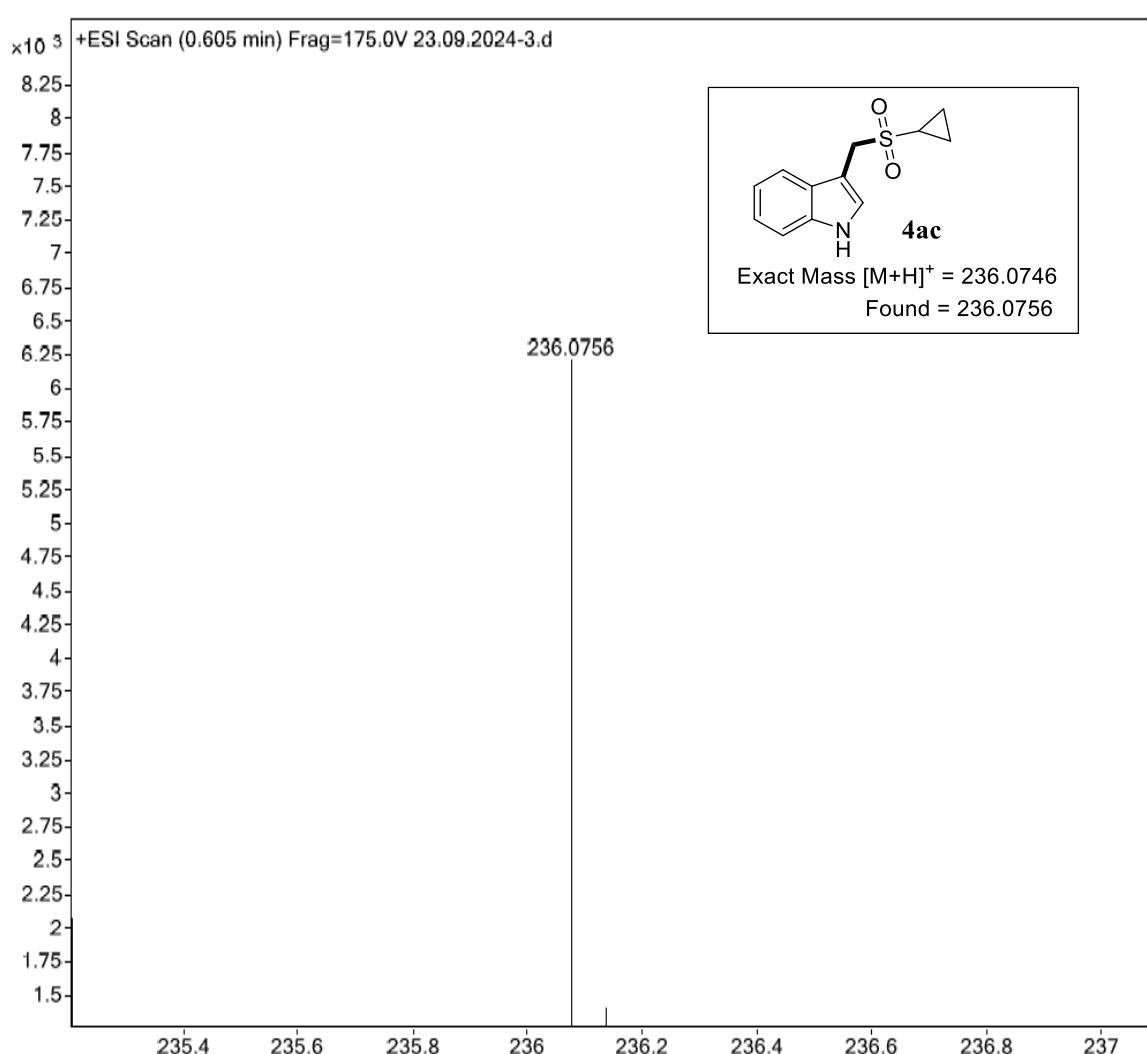
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) of 3-((cyclopropylsulfonyl)methyl)-5-methyl-1*H*-indole (4ac)**



**<sup>13</sup>C{<sup>1</sup>H} NMR (100 MHz, CDCl<sub>3</sub>) of 3-((cyclopropylsulfonyl)methyl)-5-methyl-1*H*-indole (4ac)**



**Mass spectrum of 3-((cyclopropylsulfonyl)methyl)-5-methyl-1*H*-indole (4ac)**



**Mass spectrum of 2,2,6,6-tetramethylpiperidin-1-yl 4-methylbenzenesulfonate 5**

