

## ELECTRONIC SUPPLEMENTARY INFORMATION

### Metal-free synthesis of functionalized tacrine derivatives and their evaluation for acetyl/butyrylcholinesterase and $\alpha$ -glucosidase inhibition<sup>#</sup>

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<sup>#</sup>Dedicated to Prof. Sambasivarao Kotha on the occasion of his superannuation from the services

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**1. General information:** All the solvents and required chemicals were procured from SD-Fine, Sigma-Aldrich, and Spectrochem, and used without purification and distillation. <sup>1</sup>H and <sup>13</sup>C-NMR spectra were recorded on Bruker Avance 400 MHz spectrometers using CDCl<sub>3</sub> and DMSO-*d*<sub>6</sub> as solvents and reported in  $\delta$  ppm. The mass spectra of all the compounds were recorded using Agilent Technologies-6530. Elemental analyses were carried out by UNICUBE instrument from Elementar. Purity of some compounds was measured by HPLC with Agilent Technologies 1200 infinity C18 (250\*4.6) mm column using a mixture of solvent acetonitrile : water (90 :10) and 0.1 % ortho phosphoric acid at the flow rate of 0.5 mL min<sup>-1</sup> and peak detection at 200 - 600 nm under UV.

#### 2. Experimental section:

##### General procedure for synthesis of compound (4):

To an ice-cooled solution of anthranilic acid (3.2 g, 3 mmol) and cyclohexanone (2.65 mL, 27 mmol) was added dropwise POCl<sub>3</sub> with a constant pressure dropping funnel. Then, the reaction was heated at reflux for 3 h. The solvent was reduced in vacuum and the residue was dissolved in ethyl acetate and washed with 1N K<sub>2</sub>CO<sub>3</sub> solution, brine and dried (Na<sub>2</sub>SO<sub>4</sub>). The residue was purified by silica gel chromatography using ethyl acetate: hexane = 10: 90 to yield the desired compound (4) as a yellow solid.

### **General procedure for synthesis of compound (5):**

Deep eutectic solvent was prepared by heating *N, N'*-Dimethyl urea + L-(+)-Tartaric acid (3:1 ratio) at 80 °C for 30 min. To this, 9-Chloro-1,2,3,4-tetrahydro acridine (1.0 mmol) and aromatic aldehyde (1.0 mmol) were added and heating continued for another 2- 3 hours at 80 °C. The completion of reaction was monitored by TLC. After completion of reaction the crude products obtained were purified by column chromatography on silica gel using petroleum ether-ethyl acetate as eluent to give the compound **5**.

### **General procedure for synthesis of compound (3):**

Deep eutectic solvent was prepared by heating *N, N'*-Dimethyl urea + L-(+)-Tartaric acid (3:1 ratio) at 80 °C for 30 min. To this, 9-Chloro-1,2,3,4-tetrahydroacridine (1.0 mmol) and sodium azide (5.0 equiv.) were added and stirred at 80 °C for 2 h. After completion of the reaction, to the reaction mixture water was added and the resulting precipitate was collected by filtration and recrystallized to get 9-Azido-1,2,3,4-tetrahydroacridine (6). A stirred mixture of azide (1.0 equiv.) and PPh<sub>3</sub> (3.0 equiv.) in THF was refluxed for 5 h. The residue was purified by column chromatography to get phosphazene. A stirred solution of phosphazene (1.0 equiv.) in 80% acetic acid was boiled under reflux for 7 h. After completion of the reaction, the residue was purified by column chromatography to obtain the compound (3).

### **General procedure for synthesis of compound (8):**

Deep eutectic solvent was prepared by heating *N, N'*-Dimethyl urea + L-(+)-Tartaric acid (3:1 ratio) at 80 °C for 30 min. To this, compound **5** (1.0 mmol) and *p*-Toluidine (1.0 mmol) were added and heating continued for another 3 - 4 hours at 80 °C. The completion of the reaction was monitored by TLC. After completion of the reaction the crude products obtained were purified by column chromatography on silica gel using petroleum ether-ethyl acetate as eluent to give the compound (8).

## **3. Characterization Data for synthesized compounds**

### **(E)-4-Benzylidene-9-chloro-1,2,3,4-tetrahydroacridine (5a):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.50;

Yield= 85%, light Yellow solid; M. P: 119-119.9 °C; IR (KBr, cm<sup>-1</sup>):

3041, 2948, 2821, 1569, 1305, 1191, 833; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)

δ 8.23 (s, 1H), 8.17 (dd, *J* = 8.4, 1.2 Hz, 1H), 8.09 (d, *J* = 8.4 Hz, 1H),

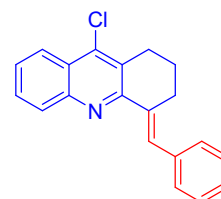
7.68 (ddd, *J* = 8.4, 6.8, 1.4 Hz, 1H), 7.55 (ddd, *J* = 8.4, 6.8, 1.2 Hz, 1H),

7.49 (d, *J* = 7.2 Hz, 2H), 7.41 (t, *J* = 7.6 Hz, 2H), 7.30 (t, *J* = 7.4 Hz,

1H), 3.13 (t, *J* = 6.4 Hz, 2H), 2.99 – 2.95 (t, *J* = 6.4 Hz, 2H), 1.95 (qui,

*J* = 6.4 Hz, 2H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 154.66, 147.01, 141.03, 137.66, 135.77,

129.88 (t, *J* = 18.0 Hz), 129.39 (s), 129.00 (s), 128.18 (s), 127.19 (s), 126.81 (s), 125.68 (s),

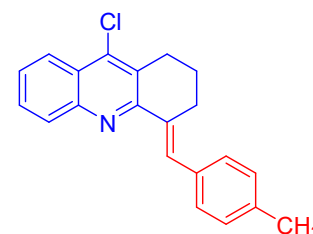


123.74, 27.90, 27.60, 22.53. **Mass (ESI-MS):** m/z Calculated C<sub>20</sub>H<sub>16</sub>ClN for: 305.0971; Observed: 306.1043 [M+H]<sup>+</sup>.

**(E)-9-Chloro-4-(4-methylbenzylidene)-1,2,3,4-tetrahydroacridine (5b):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.50;

Yield= 84%, Yellow solid; M. P: 91-92 °C; **IR (KBr, cm<sup>-1</sup>):** 3101, 2950, 2816, 1536, 1245, 1092, 825; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ** 8.12 (s, 1H), 8.07 (dd, *J* = 8.4, 0.8 Hz, 1H), 8.02 (d, *J* = 8.4 Hz, 1H), 7.59 (tdd, *J* = 8.3, 7.0, 1.3 Hz, 1H), 7.45 (tdd, *J* = 8.2, 6.9, 1.1 Hz, 1H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.13 (d, *J* = 7.9 Hz, 2H), 3.04 (t, *J* = 6.4 Hz, 2H), 2.91 – 2.85 (td, *J* = 12.6, 6.4 Hz, 2H), 2.31 (s, 3H), 1.86

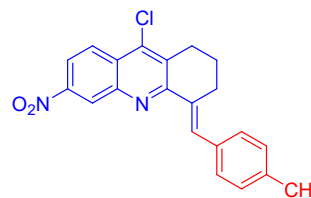


(quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ** 154.82, 146.92, 141.03, 137.14, 134.90, 134.76, 130.32, 129.90, 129.58, 129.41, 129.00, 128.94, 126.75, 125.61, 123.73, 27.89, 27.67, 22.50, 21.34. **Mass (ESI-MS):** m/z Calculated C<sub>21</sub>H<sub>18</sub>ClN for: 319.1128; Observed: 320.1201 [M+H]<sup>+</sup>.

**(E)-9-Chloro-4-(4-methylbenzylidene)-6-nitro-1,2,3,4-tetrahydroacridine (5c):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 03: 97; R<sub>f</sub> (pet ether: ethyl acetate = 10: 90): 0.5;

Yield=86%, Yellow solid; M. P: 205.1-205.6 °C; **IR (KBr, cm<sup>-1</sup>):** 3201, 2974, 2825, 1549, 1362, 1102, 819; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ** 8.92 (s, 1H), 8.28 – 8.23 (m, 3H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.23 (d, *J* = 8.0 Hz, 2H), 3.13 (t, *J* = 6.4 Hz, 2H), 2.98 (td, *J* = 6.4, 1.6 Hz, 2H), 2.40 (s, 3H), 1.99 – 1.92 (quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ**

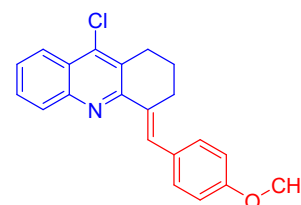


157.39, 148.11, 145.83, 140.85, 137.79, 134.20, 133.90, 132.38, 132.03, 130.00, 129.08, 128.58, 125.69, 119.73, 28.20, 27.47, 22.00, 21.40. **Mass (ESI-MS):** m/z Calculated C<sub>21</sub>H<sub>17</sub>ClN<sub>2</sub>O<sub>2</sub> for: 364.0979; Observed: 365.1095 [M+H]<sup>+</sup>.

**(E)-9-Chloro-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridine (5d):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.49;

Yield= 80%, Yellow solid; M. P: 93.5-93.8 °C; **IR (KBr, cm<sup>-1</sup>):** 3092, 2935, 2861, 1545, 1249, 1098, 823; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ** 8.09 (s, 1H), 8.07 (dd, *J* = 8.8, 0.8 Hz, 1H), 8.00 (d, *J* = 8.4 Hz, 1H), 7.61 – 7.56 (td, *J* = 8.2, 1.2 Hz, 1H), 7.45 (ddd, *J* = 8.2, 6.8, 1.2 Hz, 1H), 7.37 (d, *J* = 8.4 Hz, 2H), 6.86 (d, *J* = 8.8 Hz, 2H), 3.77 (s, 3H), 3.03 (t, *J* = 6.4 Hz, 2H), 2.88 (td, *J* = 6.6, 1.6 Hz, 2H), 1.89 – 1.83 (quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ**



158.93, 154.90, 146.60, 141.24, 133.55, 131.47, 130.50, 130.14, 129.58, 129.23, 129.04,

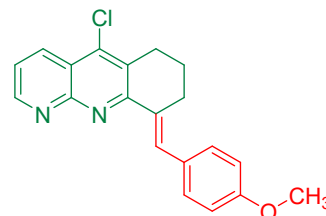
126.77, 125.51, 123.77, 113.69, 55.31, 27.84, 27.67, 22.44. **Mass (ESI-MS):** m/z Calculated C<sub>21</sub>H<sub>18</sub>ClNO for: 335.1077; Observed: 336.1159 [M+H]<sup>+</sup>.

**(E)-5-Chloro-9-(4-methoxybenzylidene)-6,7,8,9-tetrahydrobenzo[b][1,8]naphthyridine (5e):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90; R<sub>f</sub> (pet ether: ethyl acetate = 15: 85): 0.50;

Yield= 79%, red solid; M. P: 124.5-125 °C; **IR (KBr, cm<sup>-1</sup>):**

3099, 2910, 2856, 1591, 1539, 1249, 799; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 9.07 (dd, *J* = 4.4, 2.0 Hz, 1H), 8.51 (dd, *J* = 8.2, 1.8 Hz, 1H), 8.47 (s, 1H), 7.48 (d, *J* = 5.2 Hz, 2H), 7.45 (d, *J* = 4.4 Hz, 1H), 6.97 – 6.92 (m, 2H), 3.85 (s, 3H), 3.12 (t, *J* = 6.4 Hz, 2H), 3.03 – 2.97 (td, *J* = 7.4, 1.2 Hz 2H), 1.99 –



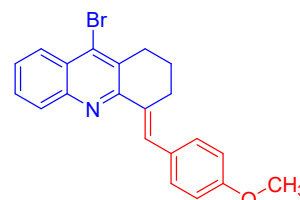
1.93 (quin, *J* = 6.4 HZ, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 159.12, 158.16, 154.48, 153.55, 140.98, 133.31, 132.63, 132.18, 131.61, 130.20, 129.98, 121.65, 120.44, 113.76, 55.30, 27.84, 27.68, 22.07. **Mass (ESI-MS):** m/z Calculated C<sub>20</sub>H<sub>17</sub>ClN<sub>2</sub>O for: 336.1029; Observed: 337.1099 [M+H]<sup>+</sup>.

**(E)-9-Bromo-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridine (5f):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.40;

Yield= 80%, Yellow solid; M. P: 98.1-98.5 °C; **IR (KBr, cm<sup>-1</sup>):**

3081, 2965, 2856, 1591, 1249, 1102, 650; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.18 (s, 1H), 8.16 (s, 1H), 8.08 (d, *J* = 8.4 Hz, 1H), 7.71 – 7.66 (m, 1H), 7.58 – 7.53 (m, 1H), 7.47 (d, *J* = 8.8 Hz, 2H), 6.97 (d, *J* = 8.8 Hz, 2H), 3.88 (s, 3H), 3.15 (t, *J* = 6.4 Hz, 2H), 3.02 – 2.95 (t, *J* = 6.4 Hz, 2H), 2.01 –



1.94 (quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 158.86,

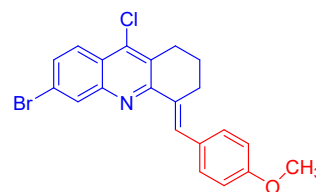
155.03, 147.02, 146.12, 131.37, 130.97, 130.29, 129.57, 129.35, 129.12, 127.30, 126.91, 126.50, 126.21, 113.67, 112.84, 55.30, 31.26, 27.73, 22.80. **Mass (ESI-MS):** m/z Calculated C<sub>21</sub>H<sub>18</sub>BrNO for: 379.0572; Observed:380.0647 [M+H]<sup>+</sup>.

**(E)-6-Bromo-9-chloro-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridine (5g):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 2.5: 97.5; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.52;

Yield= 78%, Yellow solid; M. P: 104.4-105.1 °C; **IR (KBr, cm<sup>-1</sup>):**

3015, 2936, 2832, 1518, 1255, 1105, 1029, 844, 599; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.28 (d, *J* = 1.6 Hz, 1H), 8.18 (s, 1H), 8.03 (d, *J* = 8.8 Hz, 1H), 7.62 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.47 (d, *J* = 8.4 Hz, 2H), 6.99 – 6.96 (dd, *J* = 8.8, 2.0 Hz



2H), 3.88 (s, 3H), 3.11 (t, *J* = 6.4 Hz, 2H), 3.00 – 2.96 (m, 2H), 1.99 – 1.94 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 149.58, 147.77, 147.53, 144.20, 219.44, 131.12, 149.58,



132.11, 138.40, 131.12 – 130.17, 129.97, 125.23, 113.72, 55.31, 29.71, 27.85, 22.30. **Mass (ESI-MS):** m/z Calculated C<sub>21</sub>H<sub>17</sub>BrClNO for: 413.0182; Observed: 414.0247 [M+H]<sup>+</sup>.

**(E)-9-Chloro-4-(4-methoxybenzylidene)-6-nitro-1,2,3,4-tetrahydroacridine(5h)**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 2.5: 97.5; R<sub>f</sub>(pet ether: ethyl acetate = 05: 95): 0.4;

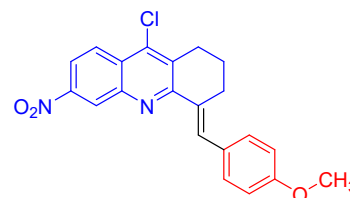
Yield= 81%, red solid; M. P: 172.9-173.8 °C; **IR (KBr, cm<sup>-1</sup>):**

3015, 2936, 2832, 1555, 1380, 1105, 833; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.90 (s, 1H), 8.23 (s, 3H), 7.46 (d, *J* = 8.8 Hz, 2H), 6.95

(d, *J* = 8.8 Hz, 2H), 3.86 (s, 3H), 3.12 (t, *J* = 6.4 Hz, 2H), 3.02 –

2.94 (m, 2H), 1.95 (quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 153.38, 147.33, 145.72, 141.05, 136.89, 131.78, 129.52,

129.04, 128.54, 128.38, 126.98, 125.01, 122.79, 121.91, 120.76, 64.02, 61.86, 26.66, 25.11, 19.38. **Mass (ESI-MS):** m/z Calculated C<sub>21</sub>H<sub>17</sub>ClN<sub>2</sub>O<sub>3</sub> for: 380.0928; Observed: 381.1012 [M+H]<sup>+</sup>.



**(E)-4-((9-Chloro-2,3-dihydroacridin-4(1H)-ylidene)methyl)-N,N-dimethylaniline (5i):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 05: 95; R<sub>f</sub>(pet ether: ethyl acetate = 10: 90): 0.52;

Yield= 82%, Yellow solid; M. P: 185.5-186.5 °C; **IR (KBr, cm<sup>-1</sup> ):**

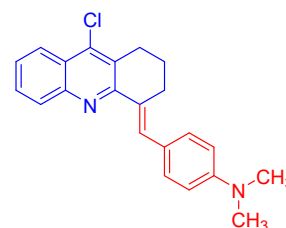
3109, 2998, 2878, 1604, 1474, 1156, 1066, 889, 740; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.09 (s, 1H), 8.05 (t, *J* = 7.2 Hz, 2H), 7.58 (ddd, *J* =

8.2, 6.8, 1.2 Hz, 1H), 7.43 (ddd, *J* = 8.2, 7.0, 1.0 Hz, 1H), 7.38 (d, *J* =

8.8 Hz, 2H), 6.67 (d, *J* = 8.8 Hz, 2H), 3.02 (t, *J* = 6.4 Hz, 2H), 2.93 (s,

8H), 1.89 – 1.83 (quin, *J* = 6.4 HZ, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 155.46, 149.65, 146.82, 140.75, 131.53, 131.23, 129.35, 129.23,

128.97, 126.37, 125.82, 125.32, 123.73, 111.85, 40.36, 27.94, 27.87, 22.47. **Mass (ESI-MS):** m/z Calculated C<sub>22</sub>H<sub>21</sub>ClN<sub>2</sub> for: 348.1393; Observed: 349.1473 [M+H]<sup>+</sup>.



**(E)-4-((9-Chloro-6-nitro-2,3-dihydroacridin-4(1H)-ylidene)methyl)-N,N-dimethylaniline (5j):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 05: 95; R<sub>f</sub>(pet ether: ethyl acetate = 10: 90): 0.50;

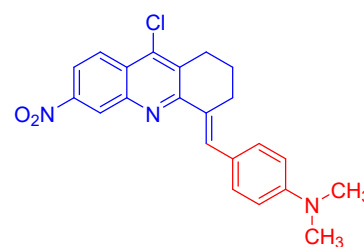
Yield= 83%, red solid; M. P: 185.5-186.5 °C; **IR (KBr, cm<sup>-1</sup>):**

3112, 2982, 2861, 1554, 1360, 1188, 1064, 812, 768; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.94 (s, 1H), 8.26 (s, 1H), 8.26 (d, *J* = 2.0

Hz, 2H), 7.49 (d, *J* = 8.8 Hz, 2H), 6.78 (d, *J* = 8.8 Hz, 2H), 3.17 –

3.13 (m, 2H), 3.05 (s, 8H), 2.01 – 1.96 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 162.58, 158.06, 149.93, 148.06, 145.99, 145.54,

141.31, 140.26, 132.78, 132.27, 131.73, 130.49, 128.33, 125.46, 125.01, 119.77, 119.20,

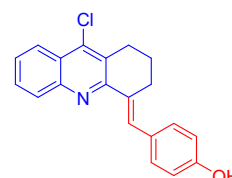


111.76, 40.26, 34.26, 28.16, 21.97. **Mass (ESI-MS):** m/z Calculated C<sub>22</sub>H<sub>20</sub>ClN<sub>3</sub>O<sub>2</sub> for: 393.1244; Observed: 394.1324 [M+H]<sup>+</sup>.

**(E)-4-((9-Chloro-2,3-dihydroacridin-4(1H)-ylidene)methyl)phenol (5k):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 04: 96; R<sub>f</sub> (pet ether: ethyl acetate = 10: 90): 0.45;

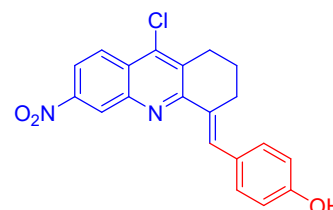
Yield= 78%, orange solid; M. P: 148.4-148.5 °C; **IR (KBr, cm<sup>-1</sup>):** 2982, 2870, 1730, 1604, 1194, 1043, 837; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.09 (dd, *J* = 8.4, 0.9 Hz, 2H), 8.06 (s, 1H), 7.62 (t, *J* = 8.2 Hz, 1H), 7.48 (t, *J* = 8.4 Hz, 1H), 7.29 (d, *J* = 8.4 Hz, 2H), 6.79 (d, *J* = 8.4 Hz, 2H), 5.59 (s, 1H), 3.05 (t, *J* = 6.4 Hz, 2H), 2.88 (t, *J* = 6.8 Hz, 2H), 1.91 – 1.86 (quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 154.95, 131.86, 130.30, 129.31, 127.15, 125.44, 123.92, 115.51, 27.6, 22.29. **Mass (ESI-MS):** m/z Calculated C<sub>20</sub>H<sub>16</sub>ClNO for: 321.0920; Observed: 322.1000 [M+H]<sup>+</sup>.



**(E)-4-((9-Chloro-6-nitro-2,3-dihydroacridin-4(1H)-ylidene)methyl)phenol (5l):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 04: 96; R<sub>f</sub> (pet ether: ethyl acetate = 10: 90): 0.50;

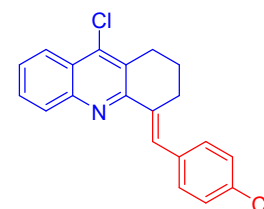
Yield= 79% ,Yellow solid; M. P: 165-166 °C; **IR (KBr, cm<sup>-1</sup>):** 3028, 2935, 2857, 1604, 1440, 1171, 1053, 839; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.95 (s, 1H), 8.28 (d, *J* = 2.7 Hz, 2H), 8.23 (s, 1H), 7.42 (d, *J* = 8.8 Hz, 2H), 6.90 (d, *J* = 8.8 Hz, 2H), 5.06 (s, 1H), 3.15 (t, *J* = 6.2 Hz, 2H), 3.01 – 2.96 (t, *J* = 5.4 Hz, 2H), 2.01 – 1.94 (quin, *J* = 6.2 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 157.55, 155.31, 148.19, 145.92, 140.79, 132.92, 132.35, 131.74, 129.90, 128.58, 125.66, 119.69, 115.34, 28.16, 27.50, 22.01. **Mass (ESI-MS):** m/z Calculated C<sub>20</sub>H<sub>15</sub>ClN<sub>2</sub>O<sub>3</sub> for: 366.0771; Observed: 367.0849 [M+H]<sup>+</sup>.



**(E)-9-Chloro-4-(4-chlorobenzylidene)-1,2,3,4-tetrahydroacridine (5m):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.50;

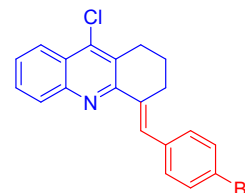
Yield= 87%, white solid; M. P: 142.1-143 °C; **IR (KBr, cm<sup>-1</sup>):** 3010, 2931, 1567, 1366, 1009, 910, 844; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.17 (d, *J* = 0.8 Hz, 1H), 8.15 (s, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.68 (ddd, *J* = 8.4, 7.0, 1.4 Hz, 1H), 7.55 (ddd, *J* = 8.2, 6.9, 1.2 Hz, 1H), 7.42 – 7.38 (m, 2H), 7.38 – 7.34 (m, 2H), 3.13 (t, *J* = 6.4 Hz, 2H), 2.95 – 2.89 (td, *J* = 6.4, 1.6 Hz, 2H), 1.95 (dt, *J* = 12.6, 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 154.29, 146.95, 141.16, 136.29, 136.07, 132.97, 131.09, 129.63, 129.49, 128.99, 128.78, 128.40, 126.96, 125.73, 123.76, 27.81, 27.59, 22.45. **Mass (ESI-MS):** m/z Calculated C<sub>20</sub>H<sub>15</sub>Cl<sub>2</sub>N for: 339.0582; Observed: 340.0667 [M+H]<sup>+</sup>.



**(E)-4-(4-Bromobenzylidene)-9-chloro-1,2,3,4-tetrahydroacridine (5n):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98;  $R_f$ (pet ether: ethyl acetate = 05: 95): 0.50;

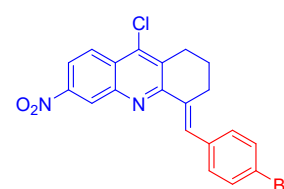
Yield= 90%, white solid; M. P: 134-135.2 °C; IR (KBr,  $\text{cm}^{-1}$ ): 3069, 2954, 1567, 1470, 1073, 519;  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.23 (d,  $J$  = 6.3 Hz, 2H), 8.21 (d,  $J$  = 8.4 Hz, 1H), 7.75 (td,  $J$  = 8.0 Hz, 1H), 7.61 (td,  $J$  = 8.0 Hz, 1H), 7.55 (d,  $J$  = 8.4 Hz, 2H), 7.39 (d,  $J$  = 8.4 Hz, 2H), 3.16 (t,  $J$  = 6.4 Hz, 2H), 2.98 – 2.92 (m, 2H), 2.02 – 1.95 (quin, 2H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  154.24, 136.50, 131.41, 131.37, 131.18, 130.49, 129.64, 129.57, 129.02, 127.01, 125.74, 123.78, 121.23, 27.81, 27.59, 22.43. Mass (ESI-MS): m/z Calculated  $\text{C}_{20}\text{H}_{15}\text{BrClN}$  for: 383.0076; Observed: 384.0154  $[\text{M}+\text{H}]^+$ .



**(E)-4-(4-Bromobenzylidene)-9-chloro-6-nitro-1,2,3,4-tetrahydroacridine (5o):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98;  $R_f$ (pet ether: ethyl acetate = 05: 95): 0.50;

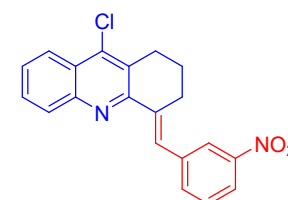
Yield= 92%, white solid; M. P: 197.4-198 °C; IR (KBr,  $\text{cm}^{-1}$ ): 3100, 2984, 1467, 1270, 1053, 553;  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.95 (s, 1H), 8.30 (d,  $J$  = 1.6 Hz, 2H), 8.22 (s, 1H), 7.55 (d,  $J$  = 8.4 Hz, 2H), 7.35 (d,  $J$  = 8.4 Hz, 2H), 3.17 (t,  $J$  = 6.4 Hz, 2H), 2.97 – 2.92 (td,  $J$  = 6.4, 1.2 Hz, 2H), 2.01 – 1.95 (quin,  $J$  = 6.4 Hz, 2H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  156.85, 148.25, 145.85, 141.12, 135.97, 135.31, 132.37, 131.52, 131.44, 130.62, 128.69, 125.76, 125.73, 121.78, 120.00, 28.13, 27.39, 21.96. Mass (ESI-MS): m/z Calculated  $\text{C}_{20}\text{H}_{14}\text{BrClN}_2\text{O}_2$  for: 427.9927; Observed: 428.9998  $[\text{M}+\text{H}]^+$ .



**(E)-9-Chloro-4-(3-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5p):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 03: 97;  $R_f$ (pet ether: ethyl acetate = 05: 95): 0.4;

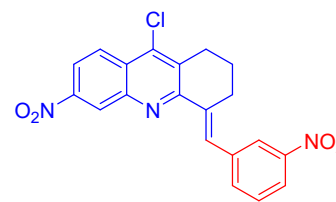
Yield= 76%, Light Yellow solid; M. P: 129.8-130 °C; IR (KBr,  $\text{cm}^{-1}$ ): 3010, 2984, 1547, 1350, 1073, 818;  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.33 (s, 1H), 8.26 (s, 1H), 8.19 (d,  $J$  = 8.4 Hz, 1H), 8.15 (d,  $J$  = 8.0 Hz, 1H), 8.08 (d,  $J$  = 8.4 Hz, 1H), 7.78 (d,  $J$  = 7.6 Hz, 1H), 7.71 (t,  $J$  = 7.6 Hz, 1H), 7.61 – 7.58 (m, 1H), 7.56 (d,  $J$  = 8.0 Hz, 1H), 3.16 (t,  $J$  = 6.4 Hz, 2H), 2.99 – 2.94 (t,  $J$  = 5.4 Hz, 2H), 2.02 – 1.96 (quin,  $J$  = 6.4 Hz, 2H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  153.39, 148.26, 139.08, 135.88, 130.04, 129.20, 127.51, 125.90, 124.23, 123.86, 122.02, 27.71, 27.51, 22.30. Mass (ESI-MS): m/z Calculated  $\text{C}_{20}\text{H}_{15}\text{ClN}_2\text{O}_2$  for: 350.0822; Observed: 351.0894  $[\text{M}+\text{H}]^+$ .



**(E)-9-Chloro-6-nitro-4-(3-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5q):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 03: 97;  $R_f$ (pet ether: ethyl acetate = 05: 95): 0.43;

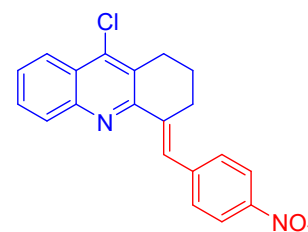
Yield= 73%, Light Yellow solid; M. P:146.8-147.0 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3109, 2989, 1552, 1360, 1037, 817;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$**  8.97 (dd,  $J = 1.8, 1.0$  Hz, 1H), 8.36 – 8.33 (m, 2H), 8.33 – 8.32 (m, 2H), 8.18 (ddd,  $J = 8.2, 1.9, 1.0$  Hz, 1H), 7.81 – 7.78 (m, 1H), 7.61 (t,  $J = 8.0$  Hz, 1H), 3.20 (t,  $J = 6.4$  Hz, 2H), 3.02 – 2.97 (td,  $J = 6.6, 1.6$  Hz, 2H), 2.05 – 1.99 (quin,  $J = 6.4$  Hz, 2H).  **$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$**  156.12, 148.28, 145.79, 141.44, 138.72, 137.20, 135.87, 132.47, 129.36, 128.99, 128.86, 125.86, 125.83, 124.24, 122.33, 120.33, 28.07, 27.37, 21.91. **Mass (ESI-MS)**:  $m/z$  Calculated  $\text{C}_{20}\text{H}_{14}\text{ClN}_3\text{O}_4$  for: 395.0673; Observed: 396.0742  $[\text{M}+\text{H}]^+$ .



**(E)-9-Chloro-4-(4-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5r):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 05: 95;  $R_f$  (pet ether: ethyl acetate = 10: 90): 0.48;

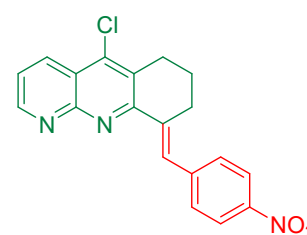
Yield= 93%, Yellow solid; M. P: 141.8-142.1 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3100, 2984, 1550, 1370, 1084, 714;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$**  8.26 (d,  $J = 2.0$  Hz, 1H), 8.25 (d,  $J = 2.8$  Hz, 1H), 8.24 (s, 1H), 8.19 (dd,  $J = 8.4, 0.9$  Hz, 1H), 8.08 (dd,  $J = 8.4, 0.5$  Hz, 1H), 7.71 (t,  $J = 8.4$  Hz, 1H), 7.61 (s, 1H), 7.61 – 7.59 (m, 1H), 7.57 (dd,  $J = 7.0, 1.3$  Hz, 1H), 3.16 (t,  $J = 6.4$  Hz, 2H), 2.97 – 2.93 (m, 2H), 1.98 (dt,  $J = 12.6, 6.4$  Hz, 2H).  **$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$**  153.41, 146.95, 146.43, 144.45, 141.44, 139.22, 130.37, 130.08, 129.73, 129.14, 127.44, 125.94, 123.82, 123.54, 122.78, 27.75, 22.39. **Mass (ESI-MS)**:  $m/z$  Calculated  $\text{C}_{20}\text{H}_{15}\text{ClN}_2\text{O}_2$  for: 350.0822; Observed: 351.0894  $[\text{M}+\text{H}]^+$ .



**(E)-5-Chloro-9-(4-nitrobenzylidene)-6,7,8,9-tetrahydrobenzo[b][1,8]naphthyridine (5s):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 05: 95;  $R_f$  (pet ether: ethyl acetate = 15: 85): 0.50;

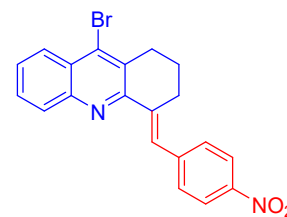
Yield= 88%, Yellow solid; M. P: 218.3-218.9 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3069, 2954, 1567, 1470, 1073, 519;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$**  9.13 (dd,  $J = 4.0, 2.0$  Hz, 1H), 8.57 (dd,  $J = 8.4, 2.0$  Hz, 1H), 8.55 (s, 1H), 8.27 (d,  $J = 8.8$  Hz, 2H), 7.63 (d,  $J = 8.4$  Hz, 2H), 7.55 (dd,  $J = 8.4, 4.2$  Hz, 1H), 3.18 (t,  $J = 6.4$  Hz, 2H), 3.00 (dd,  $J = 6.4$  Hz, 2H), 2.06 – 2.00 (m, 2H).  **$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$**  156.54, 154.25, 154.02, 146.59, 144.04, 141.77, 137.91, 133.41, 130.45, 129.60, 123.57, 122.39, 120.98, 27.67, 21.98. **Mass (ESI-MS)**:  $m/z$  Calculated  $\text{C}_{19}\text{H}_{14}\text{ClN}_3\text{O}_2$  for: 351.0775; Observed: 352.0844  $[\text{M}+\text{H}]^+$ .



**(E)-9-Bromo-4-(4-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5t):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 05: 95;  $R_f$  (pet ether: ethyl acetate = 10: 90): 0.49;

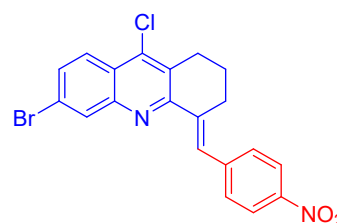
Yield= 90%, Yellow solid; M. P: 169.2-169.9 °C; **IR (KBr, cm<sup>-1</sup>)**: 3082, 2965, 1470, 1306, 769, 527; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.29 (s, 1H), 8.27 (s, 1H), 8.27 (s, 1H), 8.20 (dd, *J* = 8.5, 0.9 Hz, 1H), 8.09 (dd, *J* = 8.4, 0.6 Hz, 1H), 7.75 – 7.70 (m, 1H), 7.64 (s, 1H), 7.63 – 7.58 (m, 2H), 3.17 (t, *J* = 6.4 Hz, 2H), 2.99 – 2.94 (m, 2H), 2.04 – 1.97 (quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 153.44, 146.95, 146.43, 144.47, 139.23, 135.97, 131.52, 130.37, 129.73, 127.64, 126.57, 123.53, 31.10, 27.80, 22.69. **Mass (ESI-MS)**: m/z Calculated C<sub>20</sub>H<sub>15</sub>BrN<sub>2</sub>O<sub>2</sub> for: 394.0317; Observed: 395.0395 [M+H]<sup>+</sup>.



**(E)-6-Bromo-9-chloro-4-(4-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5u):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 2.5: 97.5; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.50;

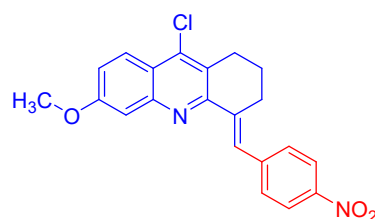
Yield= 89%, Yellow solid; M. P: 170.2 -171.5 °C; **IR (KBr, cm<sup>-1</sup>)**: 3106, 2974, 1545, 1416, 1346, 830, 754, 514; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.27 (dd, *J* = 3.6, 2.0 Hz, 2H), 8.25 (t, *J* = 2.4 Hz, 2H), 8.03 (d, *J* = 9.0 Hz, 1H), 7.64 (dd, *J* = 9.0, 2.0 Hz, 1H), 7.61 – 7.58 (m, 2H), 3.12 (t, *J* = 6.4 Hz, 2H), 2.96 – 2.91 (m, 2H), 1.98 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 154.40, 147.36, 146.54, 144.17, 141.55, 138.71, 131.82, 130.71, 130.39, 129.58, 128.20, 125.29, 124.64, 123.94, 123.57, 27.69, 22.19. **Mass (ESI-MS)**: m/z Calculated C<sub>20</sub>H<sub>14</sub>BrClN<sub>2</sub>O<sub>2</sub> for: 427.9927; Observed: 429.0002 [M+H]<sup>+</sup>.



**(E)-9-Chloro-6-methoxy-4-(4-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5v):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 2.5: 97.5; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.48;

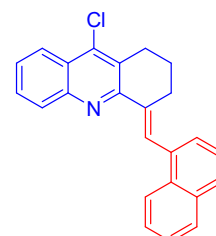
Yield= 85%, Light Yellow solid; M. P: 165.4-166 °C; **IR (KBr, cm<sup>-1</sup>)**: 3092, 2975, 1565, 1362, 1164, 1023, 842; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.29 (s, 1H), 8.28 (s, 1H), 8.27 (s, 2H), 7.92 (d, *J* = 8.8 Hz, 1H), 7.64 (d, *J* = 8.6 Hz, 2H), 7.59 (dd, *J* = 8.8, 2.0 Hz, 1H), 4.01 (s, 3H), 3.08 – 3.03 (m, 2H), 3.02 – 2.97 (m, 2H), 1.95 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 161.02, 155.65, 148.82, 146.41, 144.41, 139.20, 131.81, 131.36, 130.38, 130.03, 129.55, 127.46, 123.78 – 123.30, 123.12, 122.82, 121.57, 61.61, 28.23, 23.63, 22.29. **Mass (ESI-MS)**: m/z Calculated C<sub>23</sub>H<sub>21</sub>N<sub>5</sub>O<sub>4</sub> for: 380.0928; Observed: 381.0995 [M+H]<sup>+</sup>.



**(E)-9-Chloro-4-(naphthalen-1-ylmethylene)-1,2,3,4-tetrahydroacridine (5w):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.51;

Yield= 86%, Yellow solid; M. P: 137-138 °C; **IR (KBr, cm<sup>-1</sup>)**: 3051, 2947, 2836, 1569, 1394, 860, 720; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.76 (s, 1H), 8.3 (d, *J* = 6 Hz, 1H), 8.24 (dd, *J* = 8.4 Hz, 1H), 8.15 (dd, *J* = 5.4, 4.3 Hz, 1H), 7.94 –



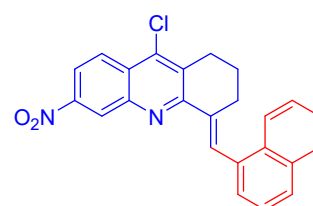
7.89 (m, 1H), 7.88 – 7.83 (m, 1H), 7.76 (t,  $J = 7.5$  Hz, 1H), 7.63 (dd,  $J = 11.3, 3.9$  Hz, 1H), 7.55 (t,  $J = 2.7$  Hz, 1H), 7.54 (d,  $J = 1.6$  Hz, 1H), 7.53 – 7.50 (m, 2H), 3.18 (t,  $J = 6.4$  Hz, 2H), 2.87 – 2.76 (m, 2H), 1.94 (quin,  $J = 12.6, 6.4$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  154.45, 147.08, 141.29, 137.51, 135.10, 133.61, 132.38, 129.85, 129.42, 128.95, 128.46, 128.12, 127.75, 126.97, 126.90, 126.01, 125.91, 125.83, 125.36, 125.25, 123.74, 28.12, 27.79, 22.71. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{23}\text{H}_{21}\text{N}_5\text{O}_4$  for: 355.1128; Observed: 356.1207  $[\text{M}+\text{H}]^+$ .

**(E)-9-Chloro-4-(naphthalen-1-ylmethylene)-6-nitro-1,2,3,4-tetrahydroacridine (5x):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98;  $R_f$  (pet ether: ethyl acetate = 05: 95): 0.50;

Yield= 88%, Yellow solid; M. P: 200-201 °C; **IR (KBr,  $\text{cm}^{-1}$ ):**

3102, 2986, 2852, 1543, 1364, 1018, 833;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  9.00 (dd,  $J = 2.0, 0.8$  Hz, 1H), 8.78 (s, 1H), 8.33 (dd,  $J = 9.0, 0.6$  Hz, 1H), 8.30 (dd,  $J = 9.2, 2.0$  Hz, 1H), 8.12 – 8.07 (m, 1H), 7.93 – 7.88 (m, 1H), 7.85 (d,  $J = 8.0$  Hz, 1H), 7.53 (dd,  $J = 4.8, 1.6$  Hz, 2H), 7.51 (d,  $J = 6.8$  Hz, 1H), 7.48 (d,  $J = 6.8$  Hz, 1H),



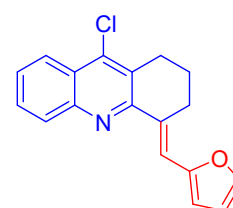
3.18 (t,  $J = 6.4$  Hz, 2H), 2.86 – 2.79 (td,  $J = 6.2, 1.6$  Hz, 2H), 1.94 (quin,  $J = 6.2$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  157.02, 148.21, 145.92, 141.27, 136.42, 134.45, 133.60, 132.38, 132.26, 130.01, 128.79, 128.54, 128.19, 126.93, 126.24, 126.06, 125.91, 125.68, 125.18, 125.08, 119.94, 28.44, 27.55, 22.21. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{23}\text{H}_{21}\text{N}_5\text{O}_4$  for: 400.0979; Observed: 401.1042  $[\text{M}+\text{H}]^+$ .

**(E)-9-Chloro-4-(furan-2-ylmethylene)-1,2,3,4-tetrahydroacridine(5y):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 1.5: 98.5;  $R_f$  (pet ether: ethyl acetate = 05: 95): 0.6;

Yield= 90%, Green solid; M. P: 114-115.1 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3095,

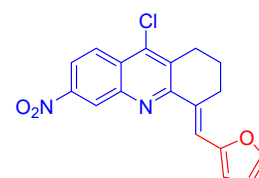
2983, 2856, 1571, 1390, 1192, 1022, 927, 794;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.08 (dd,  $J = 8.4, 0.4$  Hz, 2H), 8.03 (s, 1H), 7.65 – 7.59 (dt,  $J = 8, 0.8$  Hz, 1H), 7.51 – 7.47 (m, 1H), 7.46 (d,  $J = 1.4$  Hz, 1H), 6.56 (d,  $J = 2$  Hz, 1H), 6.44 (dd,  $J = 3.2, 2$  Hz, 1H), 3.05 (dd,  $J = 12.4, 6.4$  Hz, 4H), 1.97 – 1.89 (m,  $J = 12.8, 6.4$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$



154.34, 153.83, 146.96, 142.81, 140.67, 132.71, 129.46, 129.42, 129.25, 126.70, 125.51, 123.81, 117.75, 112.69, 111.85, 27.89, 27.66, 21.77. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{23}\text{H}_{21}\text{N}_5\text{O}_4$  for: 295.0764; Observed: 296.0845  $[\text{M}+\text{H}]^+$ .

**(E)-9-Chloro-4-(furan-2-ylmethylene)-6-nitro-1,2,3,4-tetrahydroacridine (5z):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98;  $R_f$  (pet ether: ethyl acetate = 05: 95): 0.50;



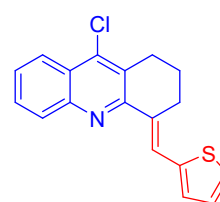


Yield= 88%, Yellow solid; M. P: 192-193 °C; **IR (KBr, cm<sup>-1</sup>)**: 3104, 2992, 2863, 1552, 1365, 1151, 1025, 920, 839; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.93 (dd, *J* = 2.0, 0.8 Hz, 1H), 8.30 – 8.24 (m, 2H), 8.11 (t, *J* = 1.8 Hz, 1H), 7.56 (d, *J* = 1.6 Hz, 1H), 6.66 (d, *J* = 3.6 Hz, 1H), 6.54 (dd, *J* = 3.4, 1.8 Hz, 1H), 3.18 – 3.12 (m, 4H), 2.04 – 2.01 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 156.92, 153.45, 148.15, 145.92, 143.42, 140.47, 132.52, 131.53, 128.47, 125.68, 125.55, 119.62, 119.08, 113.82, 112.06, 27.97, 27.55, 21.30. **Mass (ESI-MS)**: *m/z* Calculated C<sub>23</sub>H<sub>21</sub>N<sub>5</sub>O<sub>4</sub> for: 340.0615; Observed: 341.0694 [M+H]<sup>+</sup>.

**(E)-9-Chloro-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridine (5aa):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 1.5: 98.5; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.55;

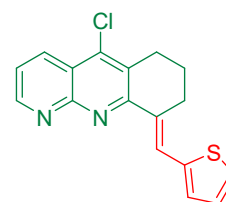
Yield= 94%, Yellow solid; M. P: 112.6-113.1 °C; **IR (KBr, cm<sup>-1</sup>)**: 3075, 2932, 2864, 1541, 1499, 1148, 903, 838; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.35 (s, 1H), 8.07 (dd, *J* = 8.4, 0.8 Hz, 1H), 8.01 (d, *J* = 8.4 Hz, 1H), 7.63 – 7.58 (td, *J* = 8.4 Hz, 1H), 7.48 – 7.43 (td, *J* = 8 Hz, 1H), 7.35 (d, *J* = 5.2 Hz, 1H), 7.27 (d, *J* = 3.6 Hz, 1H), 7.05 (dd, *J* = 5.2, 3.6 Hz, 1H), 3.07 – 3.03 (t, *J* = 6.4 Hz, 2H), 2.98 – 2.93 (dt, *J* = 5.6 Hz, 1.6 Hz, 2H), 1.98 – 1.92 (quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 154.50, 147.11, 141.00, 140.49, 132.58, 130.19, 129.53, 129.40, 129.25, 127.27, 127.15, 126.69, 125.47, 123.86, 123.48, 28.24, 27.55, 21.82. **Mass (ESI-MS)**: *m/z* Calculated C<sub>23</sub>H<sub>21</sub>N<sub>5</sub>O<sub>4</sub> for: 311.0535; Observed: 312.0608 [M+H]<sup>+</sup>.



**(E)-5-Chloro-9-(thiophen-2-ylmethylene)-6,7,8,9-tetrahydrobenzo[b][1,8]naphthyridine (5ab):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 3: 97; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.40;

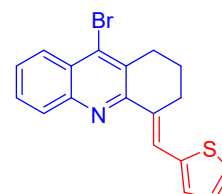
Yield= 89%, Yellow solid; M. P: 146.8-147.1 °C; **IR (KBr, cm<sup>-1</sup>)**: 3079, 2945, 2872, 1531, 1421, 1247, 901, 758; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.99 (dd, *J* = 4.2, 2.0 Hz, 1H), 8.65 (s, 1H), 8.43 (dd, *J* = 8.4, 2.0 Hz, 1H), 7.41 – 7.36 (m, 2H), 7.32 (d, *J* = 3.4 Hz, 1H), 7.07 (dd, *J* = 5.2, 3.8 Hz, 1H), 3.08 – 3.04 (m, 2H), 2.99 – 2.95 (m, 2H), 1.96 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 157.80, 154.44, 153.51, 140.69, 133.53, 131.24, 130.50, 127.97, 127.43, 125.85, 121.68, 120.47, 28.01, 27.50, 21.43. **Mass (ESI-MS)**: *m/z* Calculated C<sub>23</sub>H<sub>21</sub>N<sub>5</sub>O<sub>4</sub> for: 312.0488; Observed: 313.0561 [M+H]<sup>+</sup>.



**(E)-9-Bromo-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridine (5ac):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98; R<sub>f</sub> (pet ether: ethyl acetate = 05: 95): 0.50;

Yield= 90%, Yellow solid; M. P: 92.8-93.2 °C; **IR (KBr, cm<sup>-1</sup>)**: 3012, 2987, 2847, 1532, 1478, 1306, 1148, 910, 820, 592; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.44 (s, 1H), 8.16 (d, *J* = 8.4 Hz, 1H), 8.08 (d, *J* = 8.4



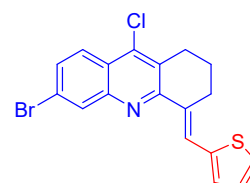


Hz, 1H), 7.69 (ddd,  $J = 8.3, 6.9, 1.3$  Hz, 1H), 7.58 – 7.53 (m, 1H), 7.45 (d,  $J = 5.2$  Hz, 1H), 7.37 (d,  $J = 3.2$  Hz, 1H), 7.16 (dd,  $J = 5.2, 3.4$  Hz, 1H), 3.18 – 3.13 (t,  $J = 6.4$  Hz, 2H), 3.05 (t,  $J = 6.4$  Hz, 2H), 2.05 (t,  $J = 6.4$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  154.56, 147.17, 141.03, 135.00, 132.58, 131.71, 130.20, 129.46, 127.13, 126.65, 123.64, 30.96, 28.32, 22.09. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{20}\text{H}_{15}\text{BrN}_2\text{O}_2$  for: 355.0030; Observed: 356.0107  $[\text{M}+\text{H}]^+$ .

**(E)-6-Bromo-9-chloro-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridine (5ad):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 2.5: 97.5;  $R_f$  (pet ether: ethyl acetate = 05: 95): 0.45;

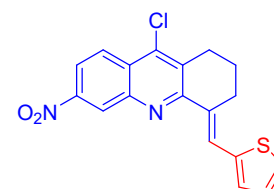
Yield= 89%, Yellow solid; M. P: 133.7-134 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3087, 2954, 2868, 1541, 1310, 1152, 904, 832, 524;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.39 (s, 1H), 8.23 (d,  $J = 1.6$  Hz, 1H), 7.96 (d,  $J = 9.2$  Hz, 1H), 7.57 (dd,  $J = 9.2, 2.0$  Hz, 1H), 7.43 (d,  $J = 5.2$  Hz, 1H), 7.33 (d,  $J = 3.4$  Hz, 1H), 7.13 (dd,  $J = 5.2, 3.6$  Hz, 1H), 3.08 – 3.04 (t,  $J = 6.4$  Hz, 2H), 3.02 – 2.97 (m, 2H), 2.03 – 1.97 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  155.45, 147.56, 140.79, 134.27, 132.04, 131.58, 130.55, 129.93, 129.62, 127.44, 125.32, 124.15, 123.59, 28.09, 27.51, 21.60. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{23}\text{H}_{21}\text{N}_5\text{O}_4$  for: 388.9641; Observed: 389.9710  $[\text{M}+\text{H}]^+$ .



**(E)-9-Chloro-6-nitro-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridine (5ae):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 3: 97;  $R_f$  (pet ether: ethyl acetate = 05: 95): 0.4;

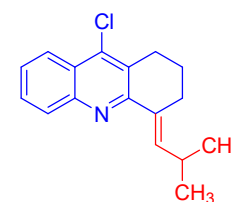
Yield= 92%, Yellow solid; M. P: 167-168 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3109, 2975, 2845, 1567, 1360, 1207, 845;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.95 (d,  $J = 0.8$  Hz, 1H), 8.52 (s, 1H), 8.28 (s, 2H), 7.50 (d,  $J = 5.2$  Hz, 1H), 7.41 (d,  $J = 3.6$  Hz, 1H), 7.19 (dd,  $J = 5.0, 3.8$  Hz, 1H), 3.19 – 3.15 (t,  $J = 6.4$  Hz, 2H), 3.06 (t,  $J = 6.2$  Hz, 2H), 2.10 – 2.04 (quin,  $J = 6.4$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  157.06, 148.19, 146.00, 140.49, 140.39, 132.53, 131.29, 131.13, 128.46, 128.11, 127.48, 125.75, 125.56, 125.24, 119.66, 27.93, 27.84, 21.34. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{23}\text{H}_{21}\text{N}_5\text{O}_4$  for: 356.0386; Observed: 357.0463  $[\text{M}+\text{H}]^+$ .



**(E)-9-Chloro-4-(2-methylpropylidene)-1,2,3,4-tetrahydroacridine (5af):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 02: 98;  $R_f$  (pet ether: ethyl acetate = 05: 95): 0.50;

Yield= 75%, Yellow Oily compound; **IR (KBr,  $\text{cm}^{-1}$ ):** 3010, 2982, 2854, 1536, 1174, 835;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.15 – 8.10 (m, 1H), 8.04 – 7.99 (m, 1H), 7.64 (ddd,  $J = 8.4, 6.8, 1.6$  Hz, 1H), 7.50 (ddd,  $J = 8.4, 6.8, 1.6$  Hz, 1H), 7.01 (dt,  $J = 9.6, 1.6$  Hz, 1H), 3.10 – 3.06 (m, 2H), 2.80 – 2.75 (m, 1H), 2.70 – 2.66 (m, 2H), 1.96 – 1.92 (m, 2H), 1.14 (d,  $J = 6.8$  Hz, 6H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  154.92, 146.99, 139.35, 129.60, 129.09, 126.39, 123.64, 28.02,

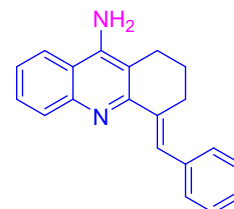


27.60, 26.03, 22.71, 22.28. **Mass (ESI-MS):** m/z Calculated C<sub>17</sub>H<sub>18</sub>ClN for: 271.1128; Observed: 272.1203 [M+H]<sup>+</sup>.

**(E)-4-Benzylidene-1,2,3,4-tetrahydroacridin-9-amine (3a):**

The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 50: 50; R<sub>f</sub>(methanol: chloroform = 05: 95): 0.20;

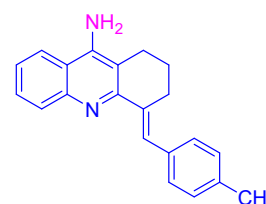
Yield= 50%, white gummy compound; **IR (KBr, cm<sup>-1</sup> ):** 3312.45, 3192.05, 2922.85, 1635.01, 1495.88, 1181.01, 1011.21, 851.87, 756.46; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.16 (s, 1H), 8.00 (d, *J* = 8.4 Hz, 1H), 7.68 (d, *J* = 8.4 Hz, 1H), 7.60 – 7.56 (m, 1H), 7.45 (d, *J* = 7.2 Hz, 2H), 7.40 – 7.35 (m, 3H), 7.26 (t, *J* = 7.2 Hz, 1H), 4.69 (s, 2H), 2.92 – 2.88 (m, 2H), 2.70 (t, *J* = 6.4 Hz, 2H), 1.95 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 153.63, 146.87, 146.42, 138.14, 136.96, 129.90, 129.66, 128.61, 128.33, 128.06, 126.73, 124.23, 119.54, 117.46, 111.11, 27.38, 24.17, 22.78. **Mass (ESI-MS):** m/z Calculated C<sub>20</sub>H<sub>18</sub>N<sub>2</sub> for: 286.1470; Observed: 287.1542 [M+H]<sup>+</sup>.



**(E)-4-(4-Methylbenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (3b):**

The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 50: 50; R<sub>f</sub>(methanol: chloroform = 05: 95): 0.20;

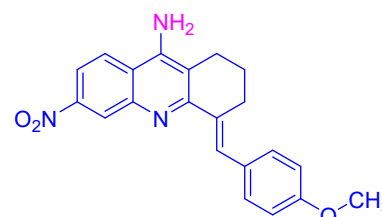
Yield= 65%, white solid; M. P: 146.5-147.7 °C; **IR (KBr, cm<sup>-1</sup> ):** 3340.42, 3246.46, 2922.23, 2850.43, 1652.65, 1518.87, 1167.43, 1.22.05, 842.40, 741.42; **<sup>1</sup>H NMR (400 MHz, DMSO)** δ 8.52 (d, *J* = 8.4 Hz, 1H), 8.34 (s, 2H), 8.22 (d, *J* = 8.4 Hz, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.88 (s, 1H), 7.63 (t, *J* = 8.4 Hz, 1H), 7.49 (d, *J* = 8.4 Hz, 2H), 7.35 (d, *J* = 8.0 Hz, 2H), 2.92 – 2.88 (m, 2H), 2.75 (t, *J* = 6.4 Hz, 2H), 2.42 (s, 3H), 1.94 (quint, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, DMSO)** δ 154.87, 152.71, 148.18, 143.26 (s), 138.36, 133.60, 133.15, 131.33, 130.22, 129.65, 125.70, 123.42, 115.67, 110.98, 110.66, 108.47, 26.64, 23.59, 22.21, 21.41. **Mass (ESI-MS):** m/z Calculated C<sub>21</sub>H<sub>20</sub>N<sub>2</sub> for 300.1626; Observed: 301.1700 [M+H]<sup>+</sup>.



**(E)-4-(4-Methoxybenzylidene)-6-nitro-1,2,3,4-tetrahydroacridin-9-amine (3c)**

The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 40: 60; R<sub>f</sub>(methanol: chloroform = 05: 95): 0.30;

Yield= 70%, red solid; M. P: 136.1-137.2 °C; **IR (KBr, cm<sup>-1</sup> ):** 3348.42, 3245.28, 2926.46, 2851.49, 2100.46, 1604.78, 1466.90, 1176.43, 1029.05, 894.19, 842.40; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>+DMSO)** δ 8.74 (d, *J* = 2.3 Hz, 1H), 8.19 (d, *J* = 9.2 Hz, 1H), 8.12 (s, 1H), 8.02 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.41 (d, *J* = 8.8 Hz, 2H), 6.94 (d, *J* = 8.8 Hz, 2H), 5.91 (s, 2H), 3.85 (s, 3H), 2.94 – 2.89 (m, 2H), 2.74 (t, *J* = 6.4 Hz, 2H), 1.96 (quin, *J* = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>+DMSO)** δ 158.69, 155.61, 148.30, 147.52, 145.67, 134.56, 131.17,

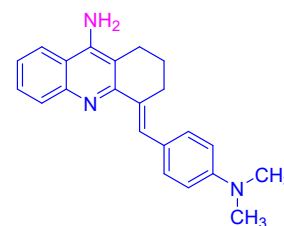


130.13, 128.94, 124.94, 123.56, 120.67, 116.08, 113.68, 113.01, 55.27, 27.28, 24.30, 22.39. **Mass (ESI-MS):** m/z Calculated C<sub>21</sub>H<sub>19</sub>N<sub>3</sub>O<sub>3</sub> for 361.1426; Observed: 362.1500 [M+H]<sup>+</sup>. Elem. Anal. Calcd for C<sub>21</sub>H<sub>19</sub>N<sub>3</sub>O<sub>3</sub>: C, 69.79; H, 5.30; N, 11.63; Found: C, 69.77; H, 5.33; N, 11.63.

**(E)-4-(4-(Dimethylamino)benzylidene)-1,2,3,4-tetrahydroacridin-9-amine (3d):**

The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 50: 50; R<sub>f</sub>(methanol: chloroform = 05: 95): 0.20;

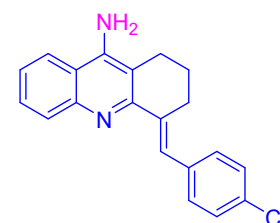
Yield=58%, red solid; M. P:154.7-155.5 °C; **IR (KBr, cm<sup>-1</sup>):** 3358.56, 3192.82, 2922.76, 1679.02, 1523.03, 1367.83, 1187.81, 812.23, 754.89; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.14 (s, 2H), 7.99 (t, J = 8.4 Hz, 2H), 7.77 (s, 1H), 7.41 (d, J = 7.6 Hz, 1H), 7.38 (d, J = 8.8 Hz, 2H), 7.27 (s, 3H), 7.24 (d, J = 7.2 Hz, 1H), 6.69 (d, J = 8.8 Hz, 2H), 3.02 (s, 6H), 2.71 – 2.64 (m, 2H), 2.37 (t, J = 6.4 Hz, 2H), 1.77 – 1.71 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 177.31, 153.52, 150.18, 148.60, 138.82, 134.41, 131.88, 131.36, 130.56, 125.72, 124.60, 124.26, 122.21, 121.13, 115.01, 111.66, 108.82, 40.22, 26.74, 23.01, 21.88. **Mass (ESI-MS):** m/z Calculated C<sub>22</sub>H<sub>23</sub>N<sub>3</sub> for 329.1892; Observed: 330.1970 [M+H]<sup>+</sup>. Elem. Anal. Calcd for C<sub>22</sub>H<sub>23</sub>N<sub>3</sub>: C, 80.21; H, 7.04; N, 12.76; Found: C, 80.33; H, 7.038; N, 12.58.



**(E)-4-(4-Chlorobenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (3e):**

The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 50: 50; R<sub>f</sub>(methanol: chloroform = 05: 95): 0.20;

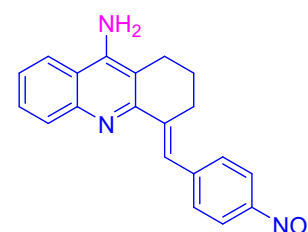
Yield= 55%, white; M. P:169.7- 170.2 °C; **IR (KBr, cm<sup>-1</sup>):** 3329.01, 3214.23, 2928.76, 1679.02, 1603.69, 1523.03, 1107.11, 812.45, 752.31; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 8.10 (s, 1H), 7.98 (d, J = 8.4 Hz, 1H), 7.67 (d, J = 8.4 Hz, 1H), 7.59 (ddd, J = 8.4, 6.8, 1.2 Hz, 1H), 7.38 (dd, J = 6.8, 1.2 Hz, 1H), 7.37 – 7.31 (m, 4H), 4.64 (s, 2H), 2.89 – 2.83 (m, 2H), 2.70 (t, J = 6.4 Hz, 2H), 1.96 (quint, J = 6.4 Hz, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 154.47, 153.93, 138.83, 137.68, 132.31, 131.28, 130.71, 128.62, 124.91, 122.69, 120.80, 114.96, 108.47, 38.48, 24.23, 22.89. **Mass (ESI-MS):** m/z Calculated C<sub>20</sub>H<sub>17</sub>ClN<sub>2</sub> for 320.1080; Observed: 321.1153 [M+H]<sup>+</sup>.



**(E)-4-(4-Nitrobenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (3f):**

The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 50: 50; R<sub>f</sub>(methanol: chloroform = 05: 95): 0.20;

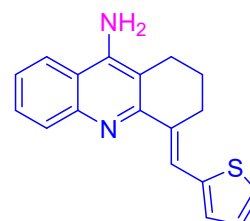
Yield= 52%, red solid; M. P: 178.9-179.3 °C; **IR (KBr, cm<sup>-1</sup>):** 3342.25, 3157.05, 2926.85, 1634.01, 1495.88, 1189.47, 1010.21, 84.87, 757.46; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>+DMSO)** δ 8.11 (s, 1H), 7.88 (d, J = 4.9 Hz, 2H), 7.86 – 7.84 (m, 2H), 7.53 (d, J = 8.4 Hz, 2H), 7.49 (d, J = 7.2 Hz, 1H), 7.31 – 7.26 (m, 1H), 5.46 (s, 2H), 2.92 – 2.87 (m, 2H), 2.67 (t, J = 6.4 Hz,



2H), 1.89 (quint,  $J = 6.4$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  152.21, 150.47, 147.23, 146.20, 140.58, 138.23, 129.96, 128.88, 127.99, 126.38, 123.26, 122.12, 120.35, 117.00, 110.25, 27.17, 23.54, 22.21. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{20}\text{H}_{17}\text{N}_3\text{O}_2$  for 331.1321; Observed: 332.1394  $[\text{M}+\text{H}]^+$ . Elem. Anal. Calcd for  $\text{C}_{20}\text{H}_{17}\text{N}_3\text{O}_2$ : C, 72.49; H, 5.17; N, 12.68; Found: C, 72.44; H, 5.174; N, 12.62.

**(E)-4-(Thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridin-9-amine (3g):**

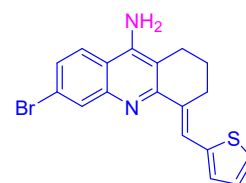
The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 40: 60;  $R_f$  (methanol : chloroform = 05: 95): 0.30; Yield= 68%, Yellow solid; M. P: 98.7-99.5 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3390.01, 3294.31, 29545.64, 1599.97, 1483.27, 1183.42, 1045.47, 925.55, 851.21;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.36 (s, 1H), 7.98 (d,  $J = 8.0$  Hz, 1H), 7.66 (dd,  $J = 8.4, 0.8$  Hz, 1H), 7.57 (ddd,  $J = 8.4, 6.8, 1.2$  Hz, 1H), 7.36 (d,  $J = 6.0$  Hz, 1H), 7.35 – 7.32 (m, 1H), 7.28 (d,  $J = 3.6$  Hz, 1H), 7.09 (dd,  $J = 5.2, 3.6$  Hz, 1H), 4.70 (s, 2H), 3.01 – 2.96 (m, 2H), 2.68 (t,  $J = 6.4$  Hz, 2H), 2.00 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3+\text{DMSO}$ )  $\delta$  152.27, 148.54, 146.38, 141.09, 134.04, 129.43, 128.69, 127.41, 126.67, 123.53, 121.80, 121.10, 117.41, 110.79, 28.12, 23.89, 22.22. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{18}\text{H}_{16}\text{N}_2\text{S}$  for 292.1034; Observed: 293.1109  $[\text{M}+\text{H}]^+$ .



**(E)-6-Bromo-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridin-9-amine(3h)**

The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 30: 70;  $R_f$  (methanol: chloroform = 05: 95): 0.40;

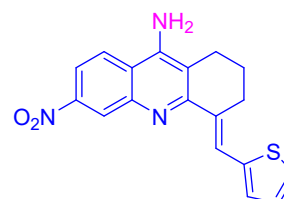
Yield= 69%, red solid; M. P: 185.8-186.4 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3320.02, 3225.31, 2925.94, 1638, 1599.97, 1483.27, 1219.36, 1066.47, 851.80;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.35 (s, 1H), 8.16 (s, 1H), 7.49 (d,  $J = 8.8$  Hz, 1H), 7.41 – 7.36 (m, 2H), 7.29 (d,  $J = 3.6$  Hz, 1H), 7.10 (dd,  $J = 5.4, 3.6$  Hz, 1H), 4.64 (s, 2H), 2.98 (t,  $J = 5.4$  Hz, 2H), 2.65 (t,  $J = 6.4$  Hz, 2H), 2.00 (q,  $J = 6.4$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  154.23, 147.67, 146.28, 141.10, 133.52, 131.82, 129.82, 127.23, 126.59, 122.65, 122.25, 121.27, 115.96, 111.80, 27.86, 23.79, 21.90. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{18}\text{H}_{15}\text{BrN}_2\text{S}$  for: 370.0139; Observed: 371.0212  $[\text{M}+\text{H}]^+$ .



**(E)-6-Nitro-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridin-9-amine (3i)**

The crude product was purified by column chromatography on neutral alumina using pet ether: ethyl acetate = 40: 60;  $R_f$ (methanol: chloroform = 05: 95): 0.30;

Yield= 72%, Yellow solid; M. P: 156.6-157.4 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3492.68, 3467.68, 3104.96, 2926.16, 2854.26, 1631.12, 1518.78, 1184.57, 1071.24, 894.13, 739.96;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3+\text{DMSO}$ )  $\delta$  8.77 (d,  $J = 2.2$  Hz, 1H), 8.40 (s, 1H), 8.08 (d,  $J = 9.2$  Hz, 1H), 8.03 (d,  $J = 9.2$  Hz, 1H), 7.41 (d,  $J = 5.2$  Hz, 1H), 7.32 (d,  $J = 3.6$  Hz, 1H), 7.12 (dd,  $J = 5.2, 3.6$  Hz, 1H), 5.62 (s, 2H), 3.03 – 2.98 (m, 2H), 2.73 (t,  $J = 6.4$  Hz, 2H), 2.06 – 2.00 (quin,  $J = 6.4$  Hz, 2H).  $^{13}\text{C}$

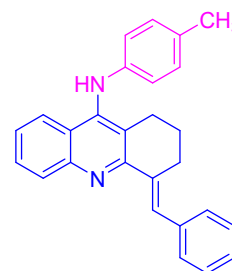


**NMR (100 MHz, CDCl<sub>3</sub>+DMSO)  $\delta$**  155.28, 147.55, 145.88, 140.86, 133.27, 129.90, 126.84, 125.24, 123.12, 122.49, 120.62, 116.23, 113.46, 27.74, 23.96, 21.72. **Mass (ESI-MS):** m/z Calculated C<sub>18</sub>H<sub>15</sub>N<sub>3</sub>O<sub>2</sub>S for: 337.0885; Observed: 338.0968 [M+H]<sup>+</sup>. HPLC () *t<sub>R</sub>* = 12.827, 95.27%.

***(E)-4-Benzylidene-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8a):***

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90; *R<sub>f</sub>* (pet ether: ethyl acetate = 20: 80): 0.50;

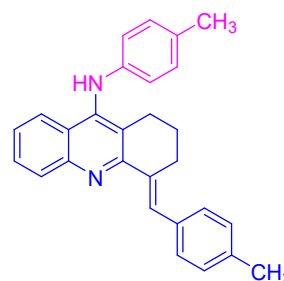
Yield=76%, Yellow solid; M. P: 101.2-102 °C; **IR (KBr, cm<sup>-1</sup>)**: 3375, 3060, 2932, 2860, 1614, 1574, 1241; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$**  8.32 (s, 1H), 7.81 (d, *J* = 8.4 Hz, 1H), 7.63 – 7.58 (m, 1H), 7.53 (d, *J* = 7.6 Hz, 2H), 7.39 (t, *J* = 7.6 Hz, 2H), 7.35 – 7.26 (m, 3H), 7.06 (d, *J* = 8.4 Hz, 2H), 6.74 (d, *J* = 8.0 Hz, 2H), 6.40 (s, 1H), 2.95 – 2.90 (t, *J* = 5.6 Hz, 2H), 2.72 (t, *J* = 6.0 Hz, 2H), 2.30 (s, 3H), 1.85 – 1.80 (m, 2H). **<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$**  154.41, 145.60, 141.81, 137.81, 135.52, 131.05, 130.43, 130.38, 129.86, 128.98, 128.34, 127.36, 122.97, 117.74 (s), 28.06, 26.32, 22.81, 20.85. **Mass (ESI-MS):** m/z Calculated C<sub>27</sub>H<sub>24</sub>N<sub>2</sub> for: 376.1939; Observed: 377.2013 [M+H]<sup>+</sup>. Elem. Anal. Calcd for C<sub>27</sub>H<sub>24</sub>N<sub>2</sub>: C, 86.13; H, 6.43; N, 7.44; Found: C, 86.07; H, 6.491; N, 7.46.



***(E)-4-(4-Methylbenzylidene)-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8b):***

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90; *R<sub>f</sub>* (pet ether: ethyl acetate = 20: 80): 0.48;

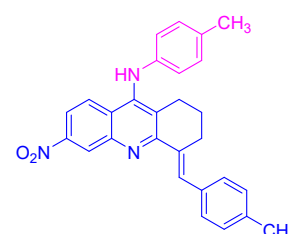
Yield= 84%, Yellow solid; M. P: 212.3-213 °C; **IR (KBr, cm<sup>-1</sup>)**: 3196, 3018, 2918, 2865, 1573, 1509, 1396, 1250, 811; **<sup>1</sup>H NMR (400 MHz, DMSO)  $\delta$**  8.34 (s, 1H), 8.14 (s, 1H), 8.00 – 7.96 (m, 2H), 7.67 – 7.62 (m, 1H), 7.43 – 7.39 (m, 3H), 7.24 (d, *J* = 8.0 Hz, 2H), 6.98 (d, *J* = 8.4 Hz, 2H), 6.61 (d, *J* = 8.4 Hz, 2H), 2.91 – 2.85 (m, 2H), 2.63 (t, *J* = 6.0 Hz, 2H), 2.33 (s, 3H), 2.20 (s, 3H), 1.74 – 1.66 (m, 2H). **<sup>13</sup>C NMR (100 MHz, DMSO)  $\delta$**  154.32, 147.07, 144.44, 142.96, 137.08, 135.52, 134.76, 129.96, 129.37, 128.67, 125.43, 123.75, 123.60, 116.66, 28.31, 26.72, 22.61, 21.33, 20.67. **Mass (ESI-MS):** m/z Calculated C<sub>28</sub>H<sub>26</sub>N<sub>2</sub> for: 390.2096; Observed: 391.2172 [M+H]<sup>+</sup>. HPLC () *t<sub>R</sub>* = 15.05, 96.81%.



***(E)-4-(4-Methylbenzylidene)-6-nitro-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8c):***

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90; *R<sub>f</sub>* (pet ether: ethyl acetate = 20: 80): 0.45;

Yield= 87%, Yellow solid; M. P: 205.5-206 °C; **IR (KBr, cm<sup>-1</sup>)**: 3376, 2938, 2917, 1536, 1340, 1246; **<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$**  8.95 (s, 1H), 8.29 (s, 1H), 8.01 (d, *J* = 9.2 Hz, 1H), 7.86 (d, *J* = 9.2

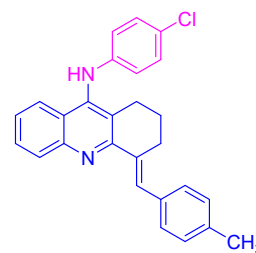


Hz, 1H), 7.41 (d,  $J = 8.0$  Hz, 2H), 7.23 (d,  $J = 8.0$  Hz, 2H), 7.05 (d,  $J = 8.4$  Hz, 2H), 6.66 (d,  $J = 8.4$  Hz, 2H), 5.98 (s, 1H), 2.99 – 2.95 (m, 2H), 2.80 (t,  $J = 6.0$  Hz, 2H), 2.39 (s, 3H), 2.30 (s, 3H), 1.88 (q,  $J = 12.4, 6.2$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  157.50, 147.71, 146.57, 142.50, 141.36, 137.43, 134.60, 131.37, 130.30, 129.75, 129.02, 125.96, 125.31, 124.94 – 124.75, 118.34, 117.74, 27.79, 26.16, 22.33, 21.35, 20.66. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{28}\text{H}_{25}\text{N}_3\text{O}_2$  for: 435.1947; Observed: 436.2019  $[\text{M}+\text{H}]^+$ .

**(E)-N-(4-Chlorophenyl)-4-(4-methylbenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (8d):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.50;

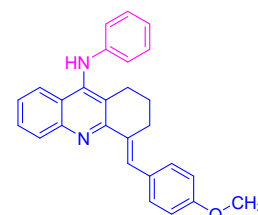
Yield= 80%, Yellow solid; M. P: 173.5-174 °C; **IR (KBr,  $\text{cm}^{-1}$  ):** 3307,2944, 2867, 1598, 1494, 819;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.21 (s, 1H), 8.11 (d,  $J = 8.4$  Hz, 1H), 7.73 (d,  $J = 8.0$  Hz, 1H), 7.60 (m, 1H), 7.39 (d,  $J = 8.0$  Hz, 2H), 7.36 – 7.31 (m, 1H), 7.19 (d,  $J = 8.0$  Hz, 2H), 7.15 – 7.11 (m, 2H), 6.61 – 6.57 (m, 2H), 5.93 (s, 1H), 2.92 (t,  $J = 5.4$  Hz, 2H), 2.71 (t,  $J = 6.2$  Hz, 2H), 2.37 (s, 3H), 1.83 – 1.76 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  155.27, 147.56, 143.34, 142.24, 137.01, 135.34, 134.88, 130.13, 128.97, 128.97, 125.61, 125.07, 124.71, 123.58, 122.55, 117.20, 28.07, 26.10, 22.62, 21.36. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{27}\text{H}_{23}\text{ClN}_2$  for: 410.1550; Observed: 411.1620  $[\text{M}+\text{H}]^+$ . HPLC ( )  $t_R = 15.855, 99.37\%$ .



**(E)-4-(4-Methoxybenzylidene)-N-phenyl-1,2,3,4-tetrahydroacridin-9-amine(8e):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.45;

Yield=80%, Yellow solid; M. P: 160.3-161.4 °C; **IR (KBr,  $\text{cm}^{-1}$  ):** 3280,3030,2951, 2870, 1560, 1513,1189;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.19 (s, 1H), 8.09 (d,  $J = 8.4$  Hz, 1H), 7.80 (d,  $J = 9.2$  Hz, 1H), 7.61 (ddd,  $J = 8.4, 6.8, 1.2$  Hz, 1H), 7.46 (d,  $J = 8.4$  Hz, 2H), 7.34 (ddd,  $J = 8.4, 6.8, 1.2$  Hz, 1H), 7.21 (td,  $J = 7.6, 2.0$  Hz, 2H), 6.94 (d,  $J = 8.8$  Hz, 2H), 6.89 (t,  $J = 7.6$  Hz, 1H), 6.70 (d,  $J = 7.6$  Hz, 2H), 5.93 (s, 1H), 3.84 (s, 3H), 2.98 – 2.93 (m, 2H), 2.77 (t,  $J = 6.0$  Hz, 2H), 1.86 – 1.81 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.67, 155.37, 147.70, 144.69, 142.45, 134.66, 131.32, 130.54, 129.86, 129.32, 129.05, 128.80, 125.33, 124.41, 123.64, 122.62, 120.40, 116.18, 113.64, 55.29, 28.15, 26.12, 22.71. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{27}\text{H}_{24}\text{N}_2\text{O}$  for: 392.1889; Observed: 393.1963  $[\text{M}+\text{H}]^+$ . Elem. Anal. Calcd for  $\text{C}_{27}\text{H}_{24}\text{N}_2\text{O}$ : C, 82.62; H, 6.16; N, 7.14; Found: C, 82.69; H, 6.158; N, 7.06.

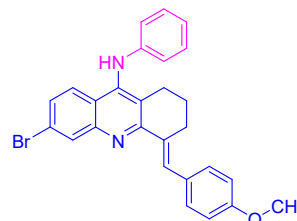




**(E)-6-Bromo-4-(4-methoxybenzylidene)-N-phenyl-1,2,3,4-tetrahydroacridin-9-amine(8f):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.46;

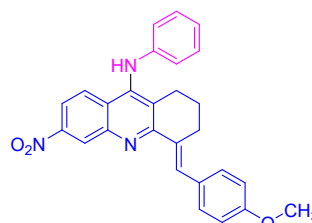
Yield= 81%, Yellow solid; M. P: 171.9-172.6 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3302, 3044, 2926, 2853, 1569, 1174, 1023, 832;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  8.27 (d,  $J = 2.0$  Hz, 1H), 8.18 (s, 1H), 7.60 (d,  $J = 9.2$  Hz, 1H), 7.44 (d,  $J = 8.4$  Hz, 2H), 7.36 (dd,  $J = 9.2, 2.0$  Hz, 1H), 7.23 – 7.18 (m, 2H), 6.95 – 6.92 (m, 2H), 6.89 (d,  $J = 7.6$  Hz, 1H), 6.68 (d,  $J = 7.6$  Hz, 2H), 5.91 (s, 1H), 3.84 (s, 3H), 2.94 – 2.90 (m, 2H), 2.72 (t,  $J = 6.2$  Hz, 2H), 1.84 – 1.79 (m, 2H).  **$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  158.82, 156.34, 148.34, 144.40, 142.83, 134.23, 131.83, 131.40, 130.28, 129.70, 129.40, 128.43, 124.50, 122.85, 122.12, 120.78, 116.40, 113.71, 55.33, 28.01, 25.99, 22.52. **Mass (ESI-MS)**:  $m/z$  Calculated  $\text{C}_{27}\text{H}_{23}\text{BrN}_2\text{O}$  for: 470.0994; Observed: 471.1072  $[\text{M}+\text{H}]^+$ . HPLC ( $t_R = 15.575$ , 95.06%.



**(E)-4-(4-Methoxybenzylidene)-6-nitro-N-phenyl-1,2,3,4-tetrahydroacridin-9-amine(8g):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.52;

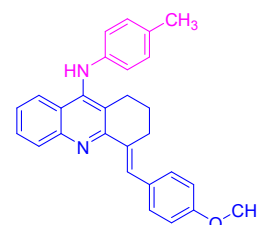
Yield= 82%, Yellow solid; M. P: 179.8-180.4 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3366, 3017, 2924, 2853, 1491, 1340, 1173;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  8.94 (d,  $J = 2.4$  Hz, 1H), 8.27 (s, 1H), 8.03 (dd,  $J = 9.2, 2.4$  Hz, 1H), 7.88 (d,  $J = 9.2$  Hz, 1H), 7.48 (d,  $J = 8.4$  Hz, 2H), 7.26 – 7.21 (m, 2H), 6.98 – 6.93 (m, 3H), 6.71 (d,  $J = 7.6$  Hz, 2H), 6.00 (s, 1H), 3.86 (s, 3H), 2.98 (t,  $J = 5.2$  Hz, 2H), 2.81 (t,  $J = 6.0$  Hz, 2H), 1.86 (q,  $J = 6.0$  Hz, 2H).  **$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  159.06, 157.88, 147.74, 146.64, 144.01, 142.84, 133.61, 131.50, 130.75, 129.99, 129.52, 129.30, 126.81, 126.51, 125.89, 124.86, 121.37, 118.58, 118.12, 116.74, 115.13, 113.80, 55.34, 27.88, 26.21, 22.29. **Mass (ESI-MS)**:  $m/z$  Calculated  $\text{C}_{27}\text{H}_{23}\text{N}_3\text{O}_3$  for: 437.1739; Observed: 438.1817  $[\text{M}+\text{H}]^+$ .



**(E)-4-(4-Methoxybenzylidene)-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8h):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 12: 88;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.50;

Yield= 81%, Yellow solid; M. P: 227.2-227.9 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3356, 2922, 1591, 1519, 1104;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3+\text{DMSO}$ )**  $\delta$  8.18 (s, 1H), 8.14 (d,  $J = 8.0$  Hz, 1H), 7.87 (d,  $J = 8.8$  Hz, 1H), 7.61 (t,  $J = 8.4$  Hz, 1H), 7.48 (d,  $J = 8.8$  Hz, 2H), 7.35 (d,  $J = 7.0$  Hz, 1H), 7.25 (d,  $J = 8.8$  Hz, 2H), 7.18 (d,  $J = 8.4$  Hz, 2H), 7.03 (d,  $J = 8.0$  Hz, 2H), 6.01 (s, 1H), 3.85 (s, 3H), 2.95 (t,  $J = 5.6$  Hz, 2H), 2.73 (t,  $J = 6.4$  Hz, 2H), 2.28 (s, 3H), 1.83 (t,  $J = 6.4$  Hz, 2H).  **$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3+\text{DMSO}$ )**  $\delta$  173.95, 158.69, 154.74, 142.00, 138.62, 131.30, 131.00, 130.28, 129.72, 129.65, 129.28, 128.95, 128.75, 125.96, 125.03, 122.96,



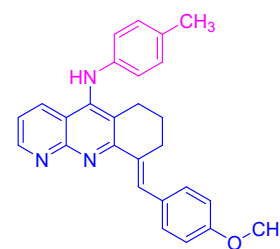


117.08, 113.61, 55.23, 30.88, 28.06, 26.20, 22.61, 21.14. **Mass (ESI-MS):** m/z Calculated  $C_{28}H_{26}N_2O$  for: 406.2045; Observed: 407.2130  $[M+H]^+$ . HPLC ()  $t_R = 16.02$ , 93.13%.

**(E)-9-(4-Methoxybenzylidene)-N-(p-tolyl)-6,7,8,9-tetrahydrobenzo[b][1,8]naphthyridin-5-amine (8i):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 15: 85;  $R_f$  (pet ether: ethyl acetate = 30: 70): 0.50;

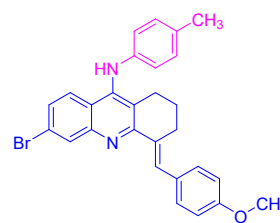
Yield= 71%, Yellow solid; M. P: 260.7-270.1 °C; **IR (KBr,  $cm^{-1}$ )**: 3231, 2910, 2856, 1591, 1539, 1249;  **$^1H$  NMR (400 MHz,  $CDCl_3$ )  $\delta$**  8.96 (dd,  $J = 4.0, 1.6$  Hz, 1H), 8.46 (s, 1H), 8.14 (dd,  $J = 8.4, 2.0$  Hz, 1H), 7.46 (d,  $J = 8.4$  Hz, 2H), 7.18 (dd,  $J = 8.0, 4.0$  Hz, 1H), 7.03 (d,  $J = 8.4$  Hz, 2H), 6.93 (d,  $J = 8.8$  Hz, 2H), 6.67 (d,  $J = 8.4$  Hz, 2H), 6.15 (s, 1H), 3.83 (s, 3H), 2.97 – 2.92 (m, 2H), 2.75 (t,  $J = 6.0$  Hz, 2H), 2.29 (s, 3H), 1.84 (p,  $J = 6.0$  Hz, 2H).  **$^{13}C$  NMR (100 MHz,  $CDCl_3$ )  $\delta$**  158.87, 158.07, 155.24, 152.86, 144.45, 141.78, 133.44, 132.84, 131.50, 130.97, 130.90, 130.27, 129.93, 123.54, 119.83, 117.42, 117.34, 113.70, 55.30, 28.00, 25.98, 22.37, 20.64. **Mass (ESI-MS):** m/z Calculated  $C_{27}H_{25}N_3O$  for: 407.1998; Observed: 408.2074  $[M+H]^+$ .



**(E)-6-Bromo-4-(4-methoxybenzylidene)-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8j):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 12: 88;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.60;

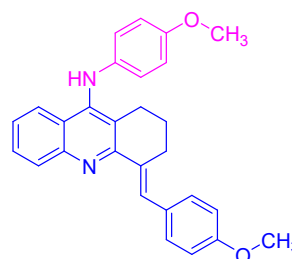
Yield= 78%, Yellow solid; M. P: 205.5-206 °C; **IR (KBr,  $cm^{-1}$ )**: 3304, 2924, 2853, 1597, 1250, 539;  **$^1H$  NMR (400 MHz,  $CDCl_3$ )  $\delta$**  8.28 (s, 1H), 8.18 (s, 1H), 7.62 (d,  $J = 8.8$  Hz, 1H), 7.46 (d,  $J = 8.4$  Hz, 2H), 7.37 (dd,  $J = 8.8, 2.0$  Hz, 1H), 7.03 (d,  $J = 8.0$  Hz, 2H), 6.96 – 6.93 (m, 2H), 6.64 (d,  $J = 8.4$  Hz, 2H), 5.90 (s, 1H), 3.85 (s, 3H), 2.98 – 2.91 (m, 2H), 2.73 (t,  $J = 6.4$  Hz, 2H), 2.29 (s, 3H), 1.84 (q,  $J = 6.4$  Hz, 3H).  **$^{13}C$  NMR (100 MHz,  $CDCl_3$ )  $\delta$**  158.81, 156.13, 143.51, 141.81, 131.38, 131.10, 130.75, 130.30, 129.89, 129.79, 128.58, 128.28, 124.46, 123.38, 122.84, 121.64, 121.54, 120.91, 117.13, 113.68, 112.92, 55.30, 27.96, 25.95, 22.56, 20.63. **Mass (ESI-MS):** m/z Calculated  $C_{28}H_{25}BrN_2O$  for: 484.1150; Observed: 485.1125  $[M+H]^+$ . HPLC ()  $t_R = 15.566$ , 96.39%.



**(E)-4-(4-Methoxybenzylidene)-N-(4-methoxyphenyl)-1,2,3,4-tetrahydroacridin-9-amine (8k):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 15: 85;  $R_f$  (pet ether: ethyl acetate = 25: 75): 0.50;

Yield= 85%, Yellow solid; M. P: 183.2-183.8 °C; **IR (KBr,  $cm^{-1}$ )**: 3342, 3069, 2982, 2865, 1510, 1393, 1246, 1172;  **$^1H$  NMR (400 MHz,  $CDCl_3$ )  $\delta$**  8.18 (s, 1H), 8.07 (d,  $J = 8.0$  Hz, 1H), 7.74 (d,  $J = 8.4$  Hz, 1H), 7.58 (ddd,  $J = 8.4, 6.8, 1.6$  Hz, 1H), 7.45 (d,  $J = 8.4$  Hz, 2H), 7.30 (ddd,  $J = 8.4,$

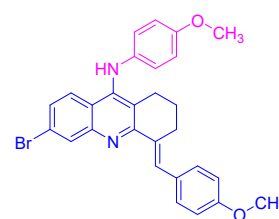


6.8, 1.2 Hz, 1H), 6.93 (d,  $J = 8.8$  Hz, 2H), 6.78 (d,  $J = 9.2$  Hz, 2H), 6.71 (d,  $J = 9.2$  Hz, 2H), 5.88 (s, 1H), 3.83 (s, 3H), 3.76 (s, 3H), 2.96 – 2.91 (m, 2H), 2.70 (t,  $J = 6.0$  Hz, 2H), 1.82 (t,  $J = 6.0$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.62, 155.17, 154.47, 147.75, 143.65, 138.17, 134.87, 131.29, 130.61, 129.90, 128.78, 128.64, 124.94, 122.77, 122.54, 122.36, 118.98, 114.63, 113.63, 55.62, 55.30, 28.11, 26.11, 22.80. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{28}\text{H}_{26}\text{N}_2\text{O}_2$  for: 422.1994; Observed: 423.2065  $[\text{M}+\text{H}]^+$ . Elem. Anal. Calcd for  $\text{C}_{28}\text{H}_{26}\text{N}_2\text{O}_2$ : C, 79.59; H, 6.20; N, 6.63; Found: C, 79.64; H, 6.217; N, 6.65.

**(E)-6-Bromo-4-(4-methoxybenzylidene)-N-(4-methoxyphenyl)-1,2,3,4-tetrahydroacridin-9-amine(8l):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 13:87;  $R_f$ (pet ether: ethyl acetate = 25: 75): 0.45;

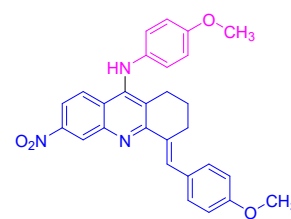
Yield= 83%, Yellow solid; M. P: 173.8-174.2 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3367, 3109, 2942, 2845, 1568, 1335, 1236, 1182;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.27 (s, 1H), 8.18 (s, 1H), 7.58 (d,  $J = 9.2$  Hz, 1H), 7.45 (d,  $J = 8.4$  Hz, 2H), 7.33 (dd,  $J = 8.8, 2.0$  Hz, 1H), 6.95 – 6.92 (m, 2H), 6.81 – 6.78 (m, 2H), 6.76 – 6.72 (m, 2H), 5.95 (s, 1H), 3.84 (s, 3H), 3.77 (s, 3H), 2.95 – 2.90 (m, 2H), 2.69 (t,  $J = 6.4$  Hz, 2H), 1.84 (t,  $J = 6.4$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.81, 154.91, 144.27, 137.62, 131.37, 130.29, 128.03, 124.45, 122.82, 121.92, 120.90, 119.61, 114.68, 113.69, 55.61, 55.31, 27.90, 25.94, 22.60. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{28}\text{H}_{25}\text{BrN}_2\text{O}_2$  for: 500.1099; Observed: 501.1173  $[\text{M}+\text{H}]^+$ . HPLC ()  $t_R = 16.26, 94.46\%$ .



**(E)-4-(4-Methoxybenzylidene)-N-(4-methoxyphenyl)-6-nitro-1,2,3,4-tetrahydroacridin-9-amine(8m):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 12: 88;  $R_f$ (pet ether: ethyl acetate = 25: 75): 0.50;

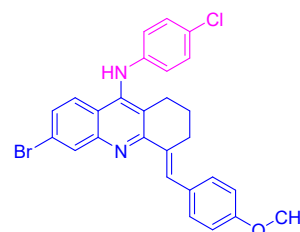
Yield= 90%, Yellow solid; M. P: 162.8-163.4 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3372, 3019, 2932, 2834, 1508, 1343, 1236, 1172;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.89 (d,  $J = 2.4$  Hz, 1H), 8.25 (s, 1H), 7.96 (dd,  $J = 9.2, 2.4$  Hz, 1H), 7.80 (d,  $J = 9.2$  Hz, 1H), 7.46 (d,  $J = 8.8$  Hz, 2H), 6.95 (d,  $J = 8.8$  Hz, 2H), 6.81 (d,  $J = 9.2$  Hz, 2H), 6.75 (d,  $J = 9.2$  Hz, 2H), 5.97 (s, 1H), 3.85 (s, 3H), 3.78 (s, 3H), 2.95 (t,  $J = 6.2$  Hz, 2H), 2.74 (t,  $J = 6.2$  Hz, 2H), 1.86 (q,  $J = 6.2$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.99, 157.51, 155.22, 147.57, 146.71, 144.12, 137.29, 133.81, 131.44, 130.42, 130.06, 125.87, 125.41, 124.85, 124.29, 119.89, 117.58, 114.79, 113.77, 55.61, 55.33, 27.79, 26.09, 22.38. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{28}\text{H}_{25}\text{N}_3\text{O}_4$  for: 467.1845; Observed: 468.1917  $[\text{M}+\text{H}]^+$ . Elem. Anal. Calcd for  $\text{C}_{28}\text{H}_{25}\text{N}_3\text{O}_4$ : C, 71.93; H, 5.39; N, 8.99; Found: C, 71.93; H, 5.391; N, 8.90.



**(E)-6-Bromo-N-(4-chlorophenyl)-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridin-9-amine(8n)**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.50;

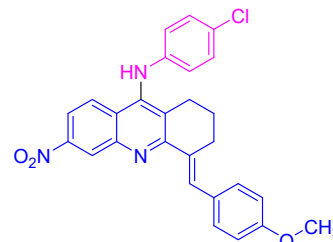
Yield= 78%, Yellow solid; M. P: 87.0-87.8 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3380, 3295, 2932, 1599, 1250, 1173, 1089, 815;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  8.27 (s, 1H), 8.18 (s, 1H), 7.57 (dd,  $J = 9.2, 4.4$  Hz, 1H), 7.45 (d,  $J = 8.8$  Hz, 2H), 7.38 (dd,  $J = 9.2, 2.0$  Hz, 1H), 7.15 (d,  $J = 8.8$  Hz, 2H), 6.94 (d,  $J = 8.8$  Hz, 2H), 6.59 (dd,  $J = 8.9, 2.2$  Hz, 2H), 5.86 (s, 1H), 3.84 (s, 3H), 2.96 – 2.91 (m, 2H), 2.70 (t,  $J = 6.2$  Hz, 2H), 1.82 (t,  $J = 6.2$  Hz, 2H).  **$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  158.88, 156.45, 148.37, 143.08, 142.30, 134.03, 131.95, 131.39, 130.19, 129.90, 129.34, 128.68, 125.46, 124.79, 124.20, 122.96, 122.07, 117.34, 113.73, 55.32, 27.98, 26.00, 22.46. **Mass (ESI-MS)**:  $m/z$  Calculated  $\text{C}_{27}\text{H}_{22}\text{BrClN}_2\text{O}$  for: 504.0604; Observed: 505.0668  $[\text{M}+\text{H}]^+$ .



**(E)-N-(4-Chlorophenyl)-4-(4-methoxybenzylidene)-6-nitro-1,2,3,4-tetrahydroacridin-9-amine(8o):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.50;

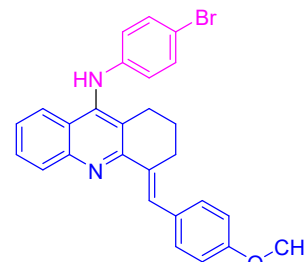
Yield= 81%, Yellow solid; M. P: 162.8 – 163.4 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3382, 3101, 2972, 2843, 1567, 1356, 1264, 1092;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  8.94 (d,  $J = 2.4$  Hz, 1H), 8.26 (s, 1H), 8.04 (dd,  $J = 9.2, 2.4$  Hz, 1H), 7.85 (d,  $J = 9.2$  Hz, 1H), 7.48 (d,  $J = 8.4$  Hz, 2H), 7.18 (d,  $J = 8.8$  Hz, 2H), 6.96 (d,  $J = 8.8$  Hz, 2H), 6.64 (d,  $J = 8.8$  Hz, 2H), 6.04 (s, 1H), 3.86 (s, 3H), 2.97 (m, 2H), 2.79 (t,  $J = 6.2$  Hz, 2H), 1.90 – 1.84 (m, 2H).  **$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  159.14, 157.93, 147.81, 146.54, 142.64, 142.44, 133.28, 131.53, 131.09, 129.87, 129.48, 129.12, 127.15, 126.41, 126.15, 125.82, 124.62, 118.37, 117.74, 116.24, 113.82, 55.34, 27.85, 26.28, 22.21. **Mass (ESI-MS)**:  $m/z$  Calculated  $\text{C}_{27}\text{H}_{22}\text{ClN}_3\text{O}_3$  for: 471.1350; Observed: 472.1429  $[\text{M}+\text{H}]^+$ .



**(E)-N-(4-Bromophenyl)-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridin-9-amine(8p):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 12: 88;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.48;

Yield= 80%, Yellow solid; M. P: 176.4-177.0 °C; **IR (KBr,  $\text{cm}^{-1}$ )**: 3354, 3097, 2979, 2865, 1589, 1343, 1236, 1162;  **$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  8.19 (s, 1H), 8.10 (d,  $J = 8.4$  Hz, 1H), 7.76 (d,  $J = 8.8$  Hz, 1H), 7.62 (t,  $J = 8.4$  Hz, 1H), 7.46 (d,  $J = 8.8$  Hz, 2H), 7.39 – 7.34 (m, 1H), 7.29 (d,  $J = 8.8$  Hz, 2H), 7.22 (d,  $J = 8.8$  Hz, 1H), 6.94 (d,  $J = 8.8$  Hz, 2H), 6.55 (dd,  $J = 8.8, 2.4$  Hz, 3H), 5.89 (s,

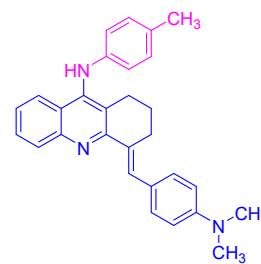


1H), 3.85 (s, 3H), 2.98 – 2.92 (m, 2H), 2.75 (t,  $J = 6.2$  Hz, 2H), 1.87 – 1.81 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.73, 155.46, 147.70, 145.44, 143.88, 141.84, 134.42, 132.15, 132.02, 131.34, 130.42, 129.92, 129.28, 128.95, 125.59, 124.88, 123.62, 122.44, 117.48, 116.72, 113.67, 112.18, 110.21, 55.31, 28.12, 26.10, 22.63. **Mass (ESI-MS):** m/z Calculated  $\text{C}_{27}\text{H}_{23}\text{BrN}_2\text{O}$  for: 470.0994; Observed: 471.1069  $[\text{M}+\text{H}]^+$ .

**(E)-4-(4-(Dimethylamino)benzylidene)-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8q):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 20: 80;  $R_f$  (pet ether: ethyl acetate = 30: 70): 0.40;

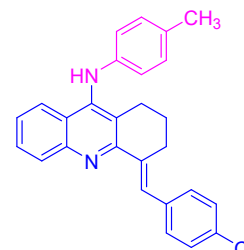
Yield= 79%, Yellow solid; M. P: 187.1-187.6 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3303,3010,2941, 2868, 1569, 1523,1209;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.16 (s, 1H), 8.10 (d,  $J = 8.0$  Hz, 1H), 7.76 (d,  $J = 8.4$  Hz, 1H), 7.58 (t,  $J = 7.6$  Hz, 1H), 7.46 (d,  $J = 8.4$  Hz, 2H), 7.30 (t,  $J = 7.6$  Hz, 1H), 7.01 (d,  $J = 8.0$  Hz, 2H), 6.74 (d,  $J = 8.4$  Hz, 2H), 6.63 (d,  $J = 8.0$  Hz, 2H), 5.92 (s, 1H), 2.99 (s, 6H), 2.97 (t,  $J = 5.6$  Hz, 2H), 2.71 (t,  $J = 5.6$  Hz, 2H), 2.27 (s, 3H), 1.84 – 1.78 (m, 2H).  $^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )  $\delta$  155.92, 149.64, 147.73, 142.96, 142.42, 132.73, 131.55, 130.13, 129.96, 129.72, 126.29, 125.09, 123.80, 123.35, 122.75, 116.83, 112.04, 40.56, 28.53, 26.31, 22.90, 20.79. **Mass (ESI-MS):** m/z Calculated  $\text{C}_{27}\text{H}_{23}\text{ClN}_2$  for: 410.1550; Observed: 411.1620  $[\text{M}+\text{H}]^+$ .



**(E)-4-(4-Chlorobenzylidene)-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8r):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.50;

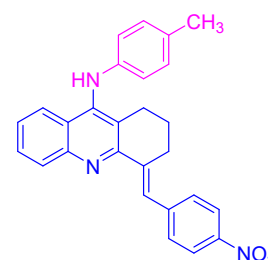
Yield= 81%, Yellow solid; M. P: 205.2-205.8 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3198, 3012, 2929, 2967, 1510, 812;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.22 (s, 1H), 8.13 (d,  $J = 8.4$  Hz, 1H), 7.82 (d,  $J = 9.2$  Hz, 1H), 7.67 – 7.62 (m, 1H), 7.45 (d,  $J = 8.4$  Hz, 2H), 7.39 (m, 3H), 7.06 (d,  $J = 8.0$  Hz, 2H), 6.68 (d,  $J = 8.4$  Hz, 2H), 5.96 (s, 1H), 2.96 – 2.91 (m, 2H), 2.78 (t,  $J = 6.2$  Hz, 2H), 2.32 (s, 3H), 1.87 (q,  $J = 6.4$  Hz, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  154.50, 143.37, 141.97, 136.97, 136.34, 132.69, 131.12, 130.38, 129.84, 128.94, 128.36, 127.93, 125.43, 123.36, 122.66, 116.95, 28.03, 26.09, 22.68, 20.65. **Mass (ESI-MS):** m/z Calculated  $\text{C}_{27}\text{H}_{23}\text{ClN}_2$  for: 410.1550; Observed: 411.1620  $[\text{M}+\text{H}]^+$ .



**(E)-4-(4-Nitrobenzylidene)-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8s):**

The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 20: 80;  $R_f$  (pet ether: ethyl acetate = 30: 70): 0.45;

Yield= 72%, Yellow solid; M. P: 189.7-190 °C; **IR (KBr,  $\text{cm}^{-1}$ ):** 3379, 2927, 2864, 1589, 1513, 1336;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.29 (s, 1H), 8.25 (d,  $J = 8.8$  Hz, 2H), 8.09 (d,  $J = 8.4$  Hz, 1H), 7.81 (d,  $J = 7.6$  Hz, 1H), 7.64 (m, 2H), 7.61 (m, 1H), 7.38 (ddd,  $J = 8.2, 6.8, 1.2$  Hz, 1H), 7.04 (d,  $J = 8.0$  Hz, 2H), 6.67 (d,  $J = 8.4$  Hz, 2H), 5.97 (s, 1H), 2.97 – 2.92 (m,

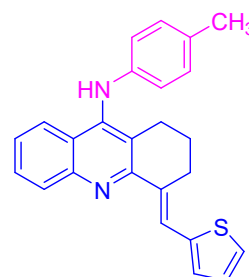


2H), 2.78 (t,  $J = 6.2$  Hz, 2H), 2.29 (s, 3H), 1.90 – 1.85 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  153.67, 147.62, 146.25, 144.82, 143.66, 141.85, 140.12, 130.58, 130.34, 129.93, 129.08, 126.71, 125.77, 123.48, 122.68, 117.10, 28.25, 26.05, 22.65, 20.64. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{27}\text{H}_{23}\text{N}_3\text{O}_2$  for: 421.1790; Observed: 422.1866  $[\text{M}+\text{H}]^+$ . Elem. Anal. Calcd for  $\text{C}_{27}\text{H}_{23}\text{N}_3\text{O}_2$ : C, 76.94; H, 5.50; N, 9.97; Found: C, 76.86; H, 5.532; N, 9.89.

**(E)-4-(Thiophen-2-ylmethylene)-N-(p-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8t):**

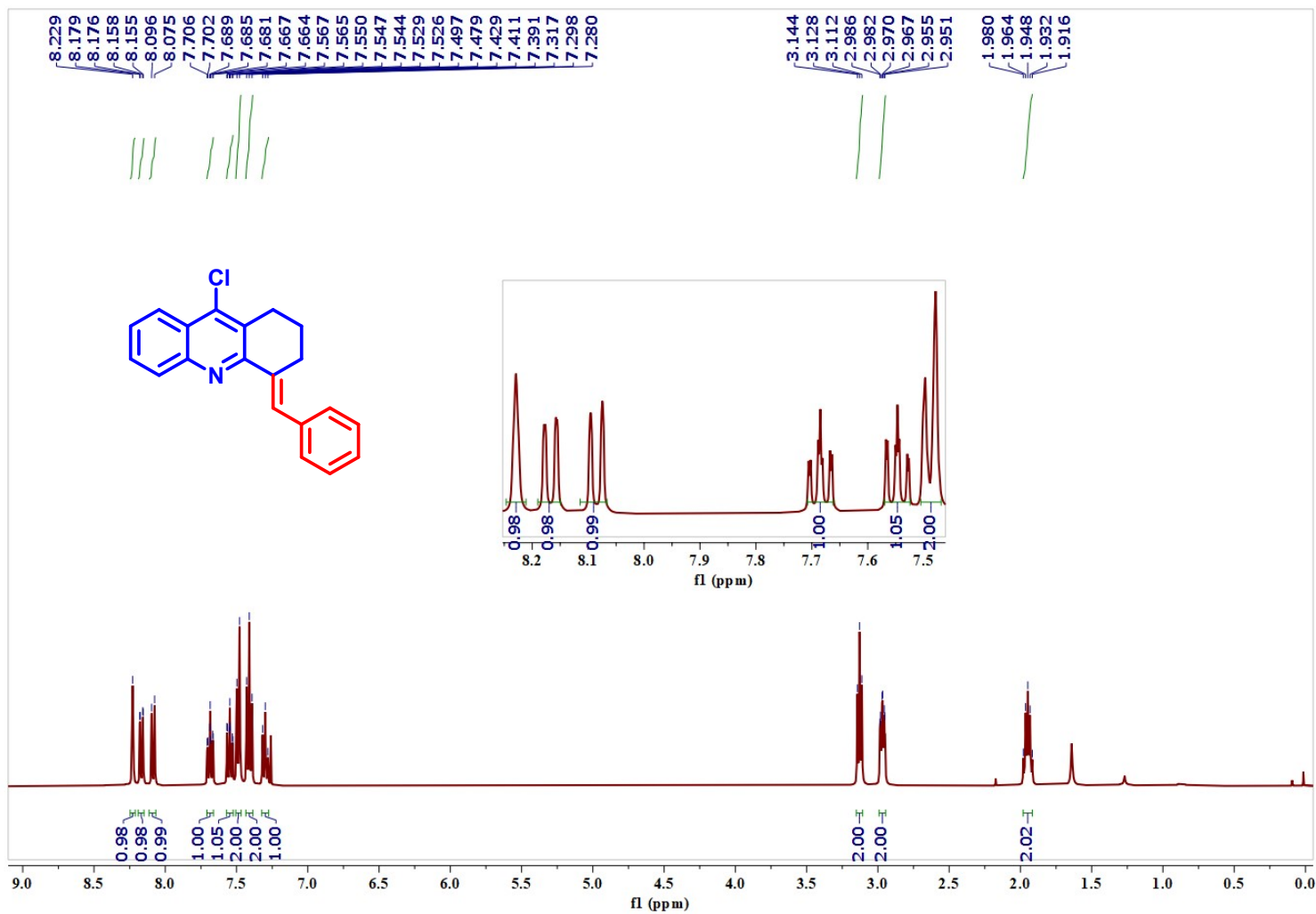
The crude product was purified by column chromatography on silica gel 100-200 mesh using pet ether: ethyl acetate = 10: 90;  $R_f$  (pet ether: ethyl acetate = 20: 80): 0.50;

Yield= 85%, Yellow solid; M. P: 188.9-189.4 °C; IR (KBr,  $\text{cm}^{-1}$ ): 3301,3100,2931, 2860, 1570, 1513,1209;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.33 (s, 1H), 8.02 (d,  $J = 8.4$  Hz, 1H), 7.70 (d,  $J = 8.0$  Hz, 1H), 7.52 (t,  $J = 7.0$  Hz, 1H), 7.31 (d,  $J = 5.1$  Hz, 1H), 7.27 – 7.23 (m, 2H), 7.03 (dd,  $J = 5.1, 3.7$  Hz, 1H), 6.94 (d,  $J = 8.2$  Hz, 2H), 6.55 (d,  $J = 8.4$  Hz, 2H), 5.89 (s, 1H), 2.89 (t,  $J = 5.5$  Hz, 2H), 2.63 – 2.58 (m, 2H), 2.19 (s, 3H), 1.82 – 1.76 (m, 2H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  154.99, 147.67, 142.69, 142.14, 141.29, 133.55, 130.16, 130.16, 128.86, 127.23, 126.84, 125.30, 123.93, 123.28, 122.86, 122.43, 116.70, 28.63, 26.11, 22.11, 20.64. **Mass (ESI-MS):**  $m/z$  Calculated  $\text{C}_{25}\text{H}_{22}\text{N}_2\text{S}$  for: 382.1502; Observed: 383.1578  $[\text{M}+\text{H}]^+$ . Elem. Anal. Calcd for  $\text{C}_{25}\text{H}_{22}\text{N}_2\text{S}$ : C, 78.50; H, 5.80; N, 7.32; S, 8.38; Found: C, 78.38; H, 5.697; N, 7.32; S, 7.831.

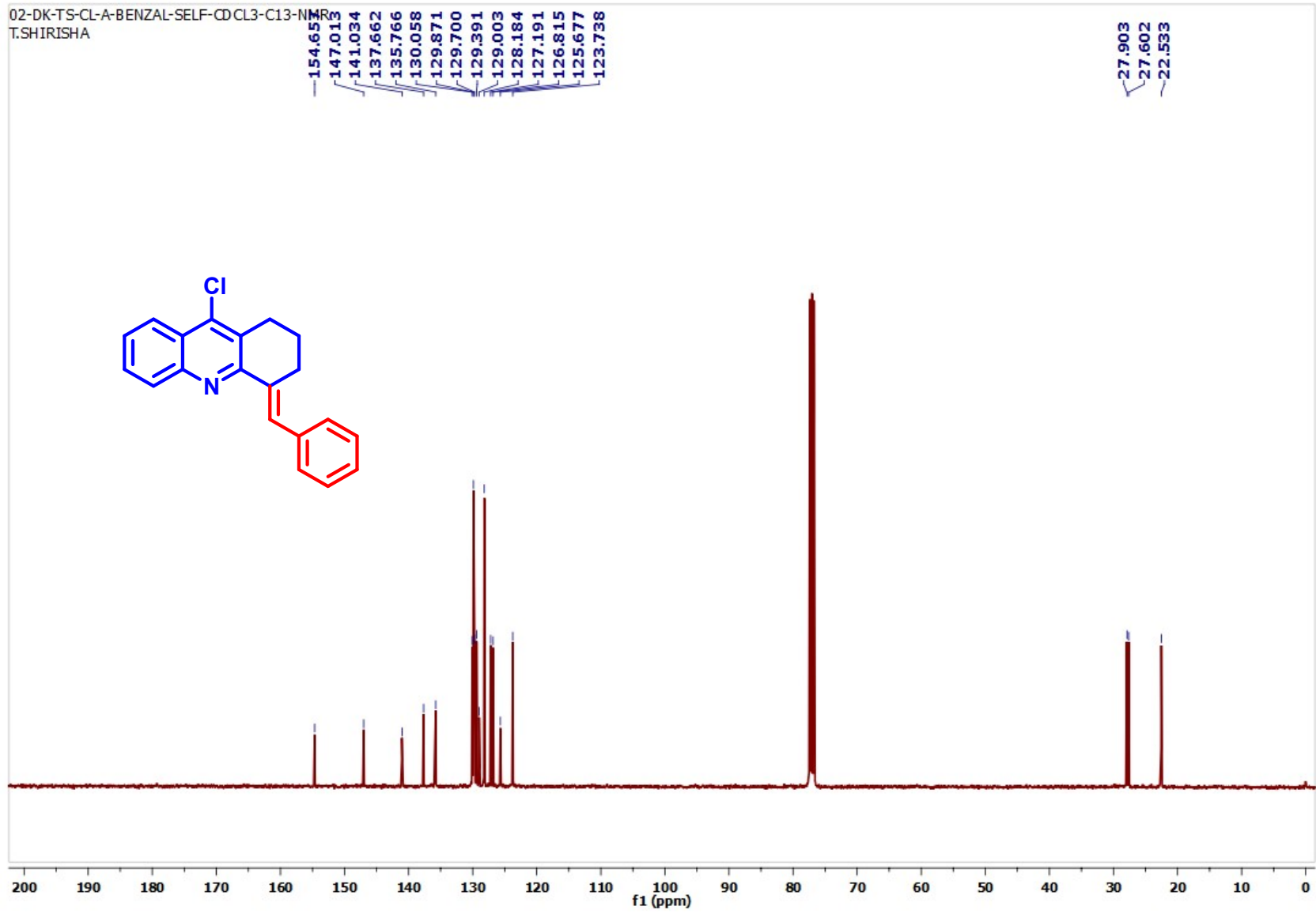


#### 4. NMR and HRMS spectra

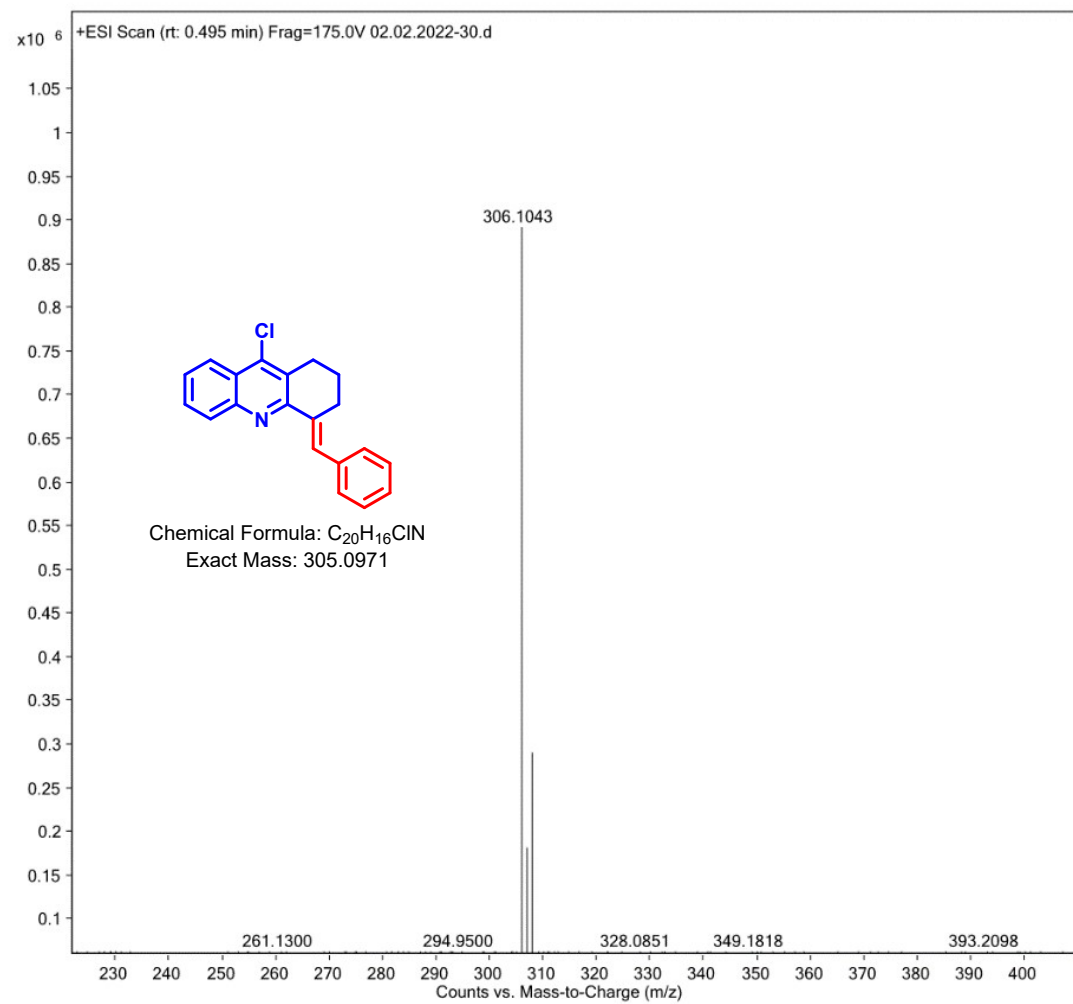
*(E)*-4-Benzylidene-9-chloro-1,2,3,4-tetrahydroacridine (**5a**):



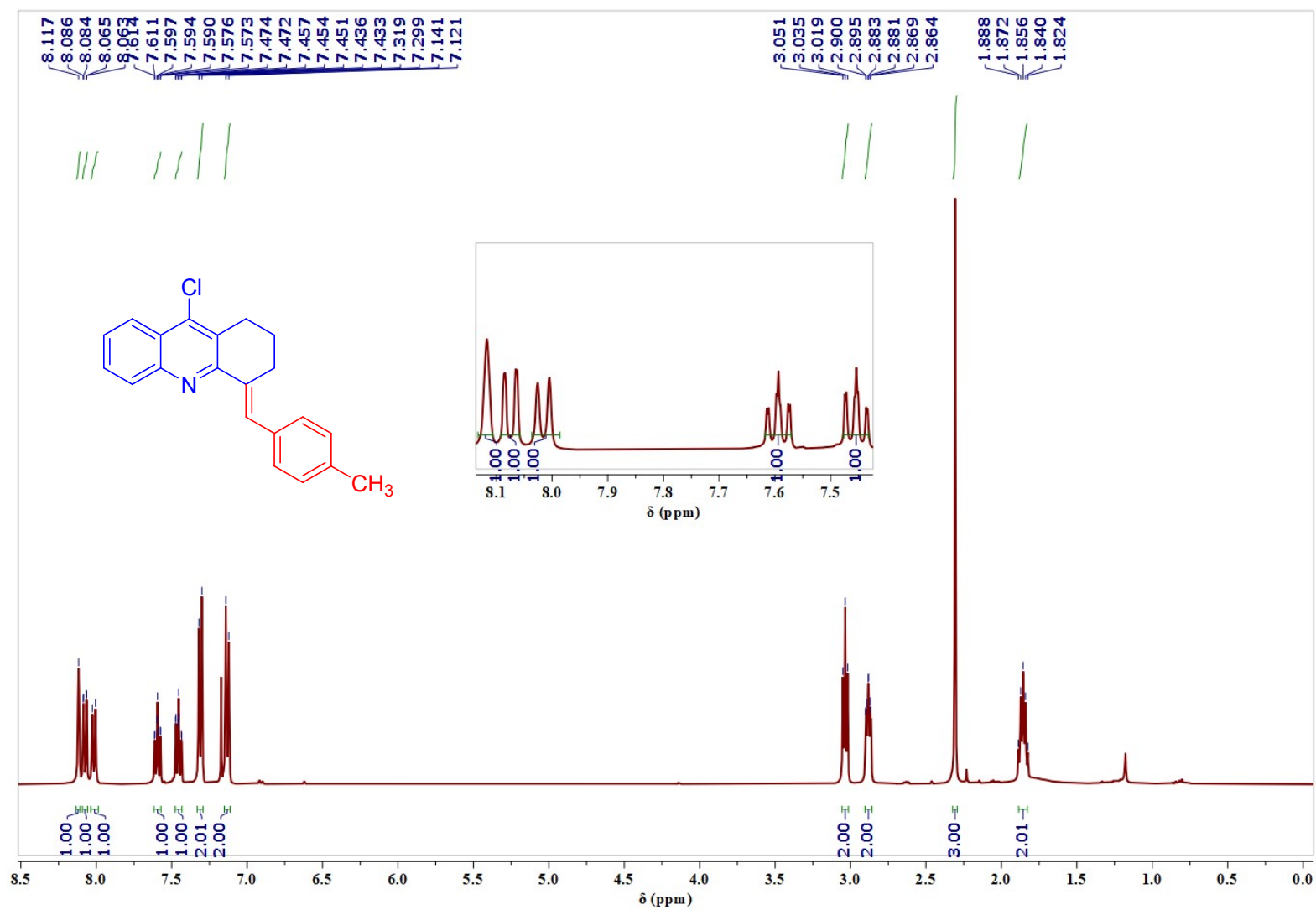
02-DK-TS-CL-A-BENZAL-SELF-CDCL3-C13-NMR  
T.SHIRISHA







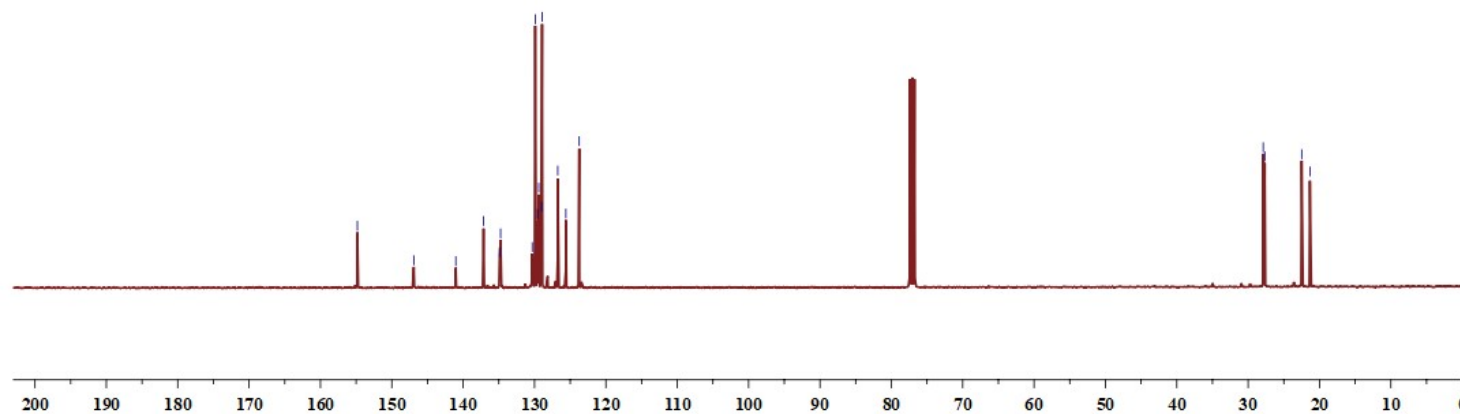
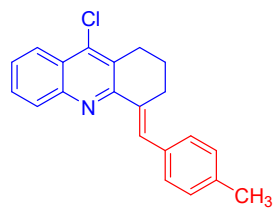
*(E)*-9-Chloro-4-(4-methylbenzylidene)-1,2,3,4-tetrahydroacridine (**5b**):

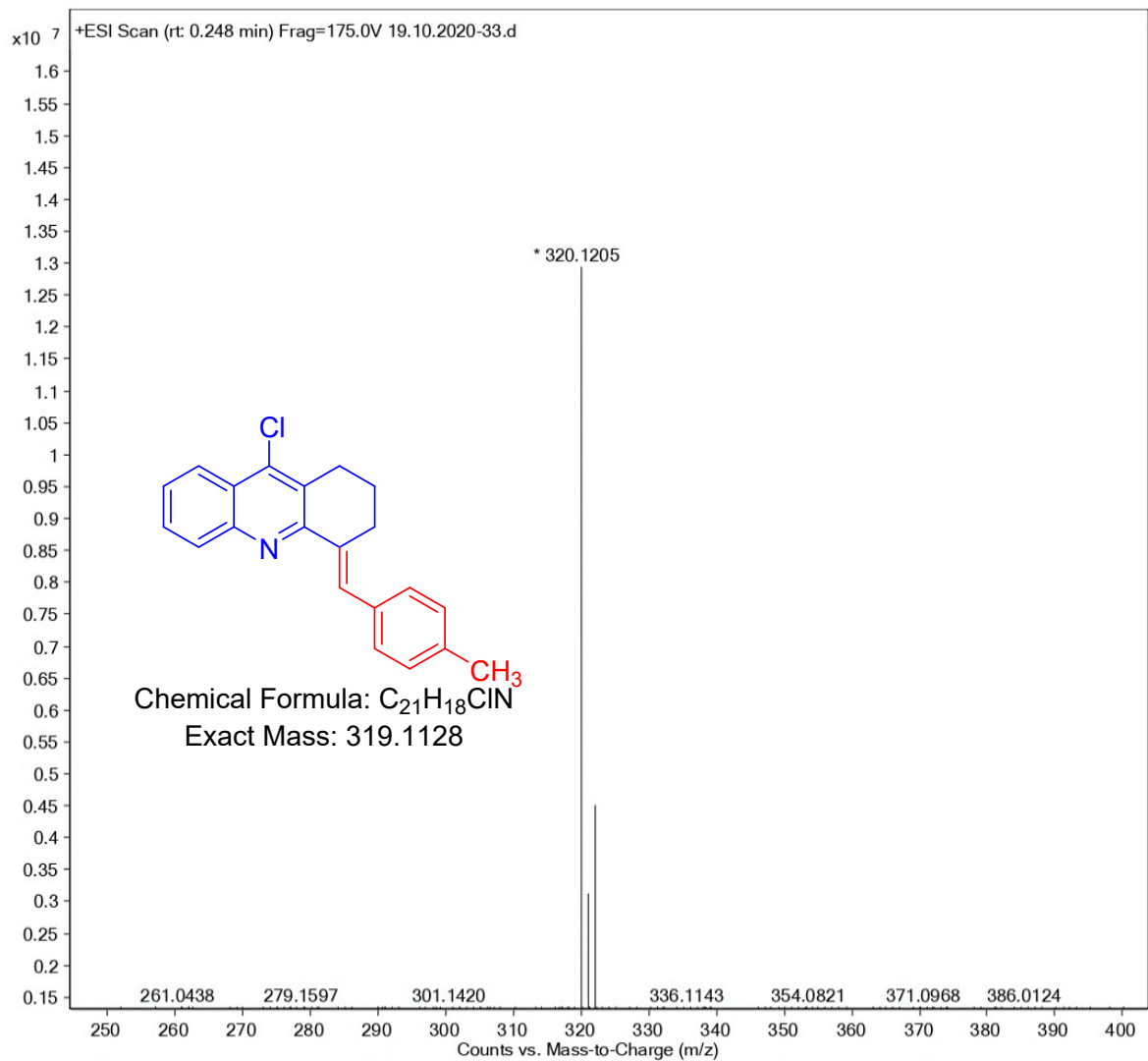


12-DK-TS-CL-A-ME-CL3-CL  
TS

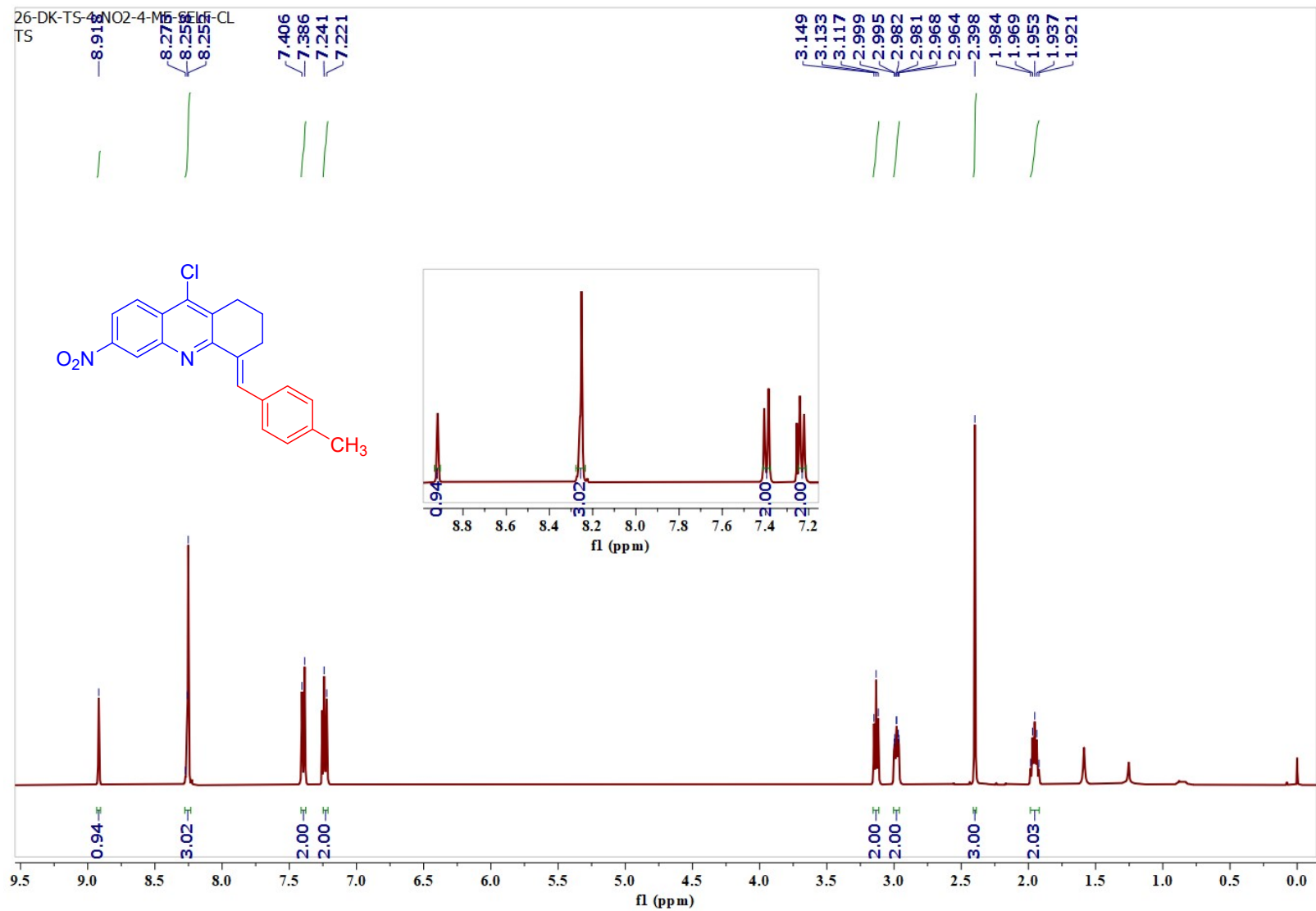
154.822  
146.920  
141.032  
137.143  
134.903  
134.755  
130.319  
129.896  
129.577  
129.405  
128.999  
128.938  
126.745  
125.606  
123.735

27.890  
27.668  
22.498  
21.344





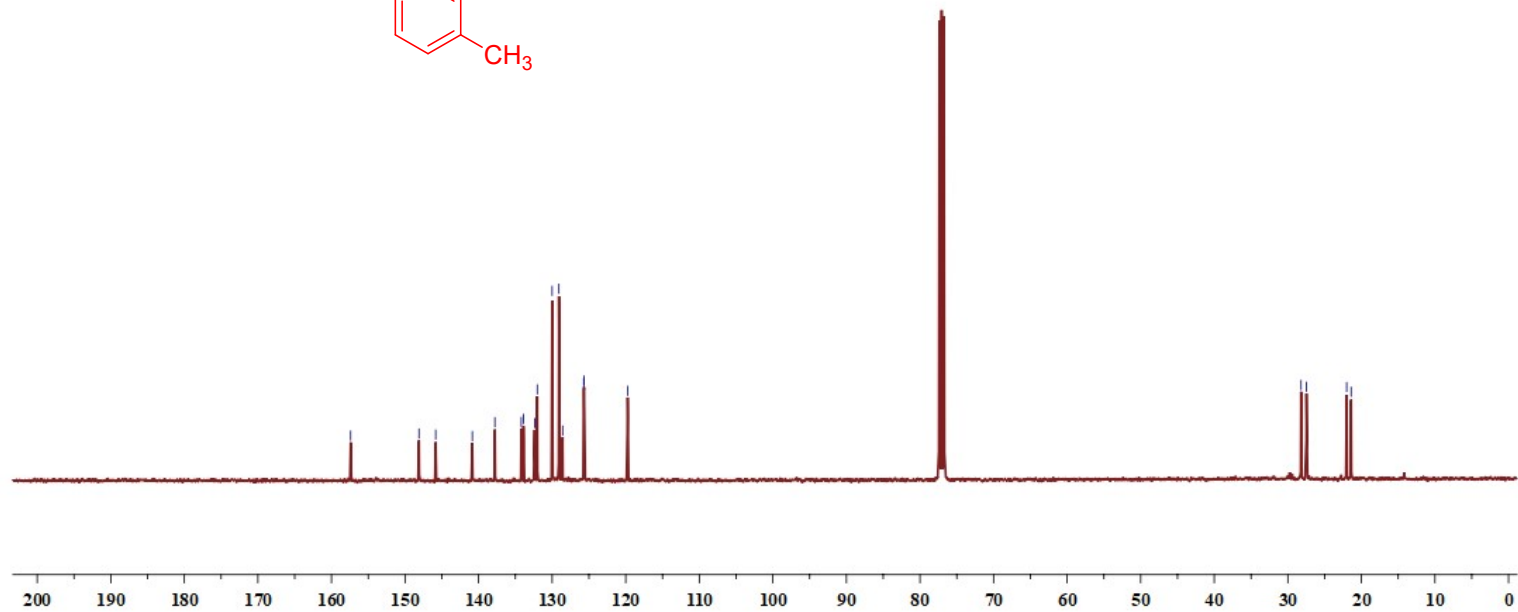
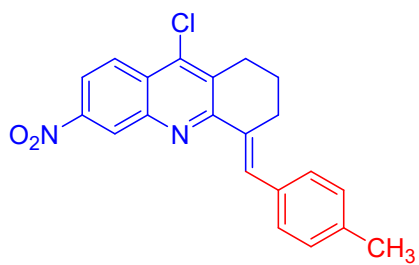
*(E)*-9-Chloro-4-(4-methylbenzylidene)-6-nitro-1,2,3,4-tetrahydroacridine (5c):

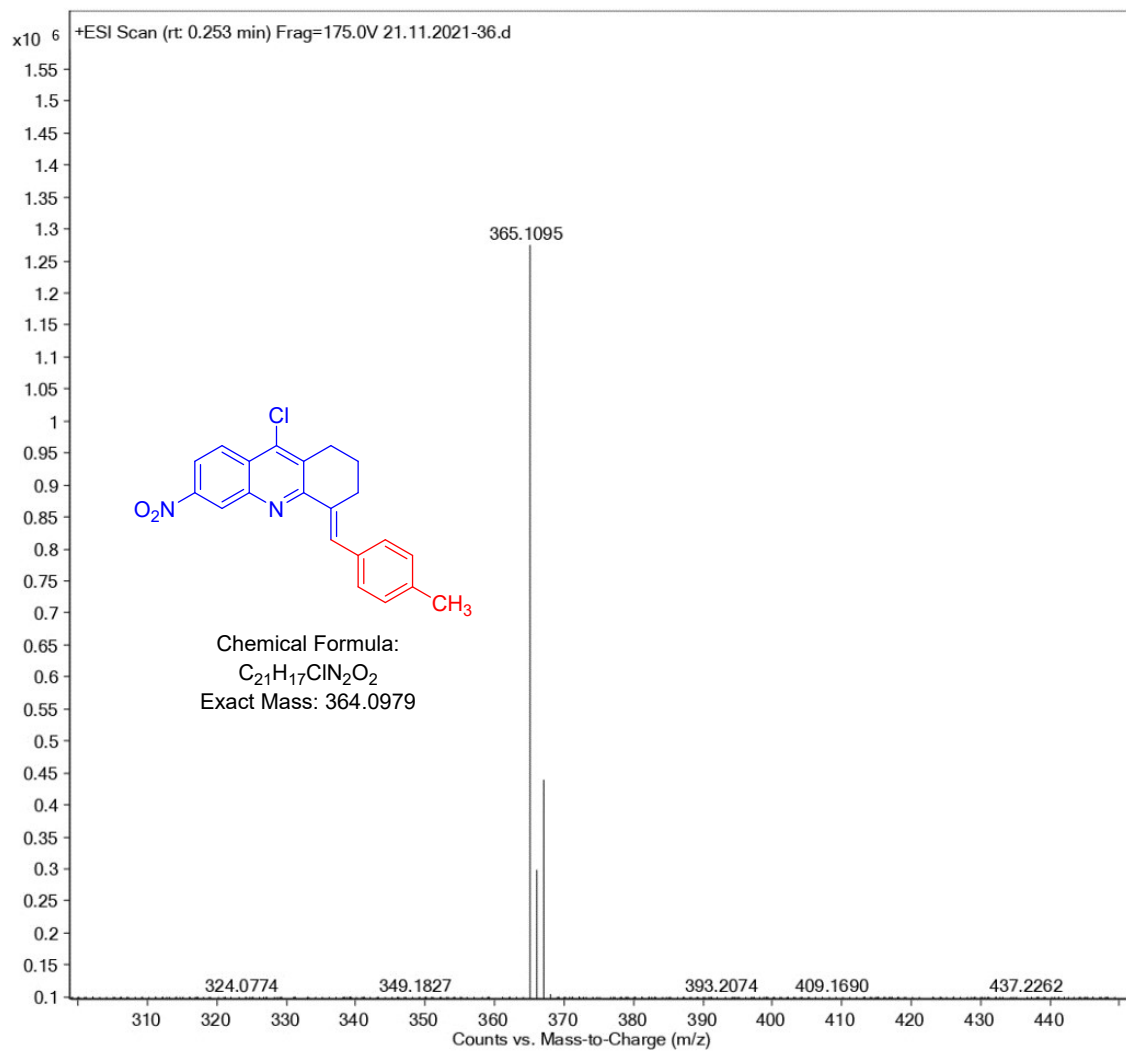


7-DK-TS-4-NO2-4-ME-SELF-CL-C13  
TS

157.393  
148.106  
145.834  
140.855  
137.791  
134.197  
133.901  
132.383  
132.026  
130.000  
129.081  
128.577  
125.712  
125.661  
119.732

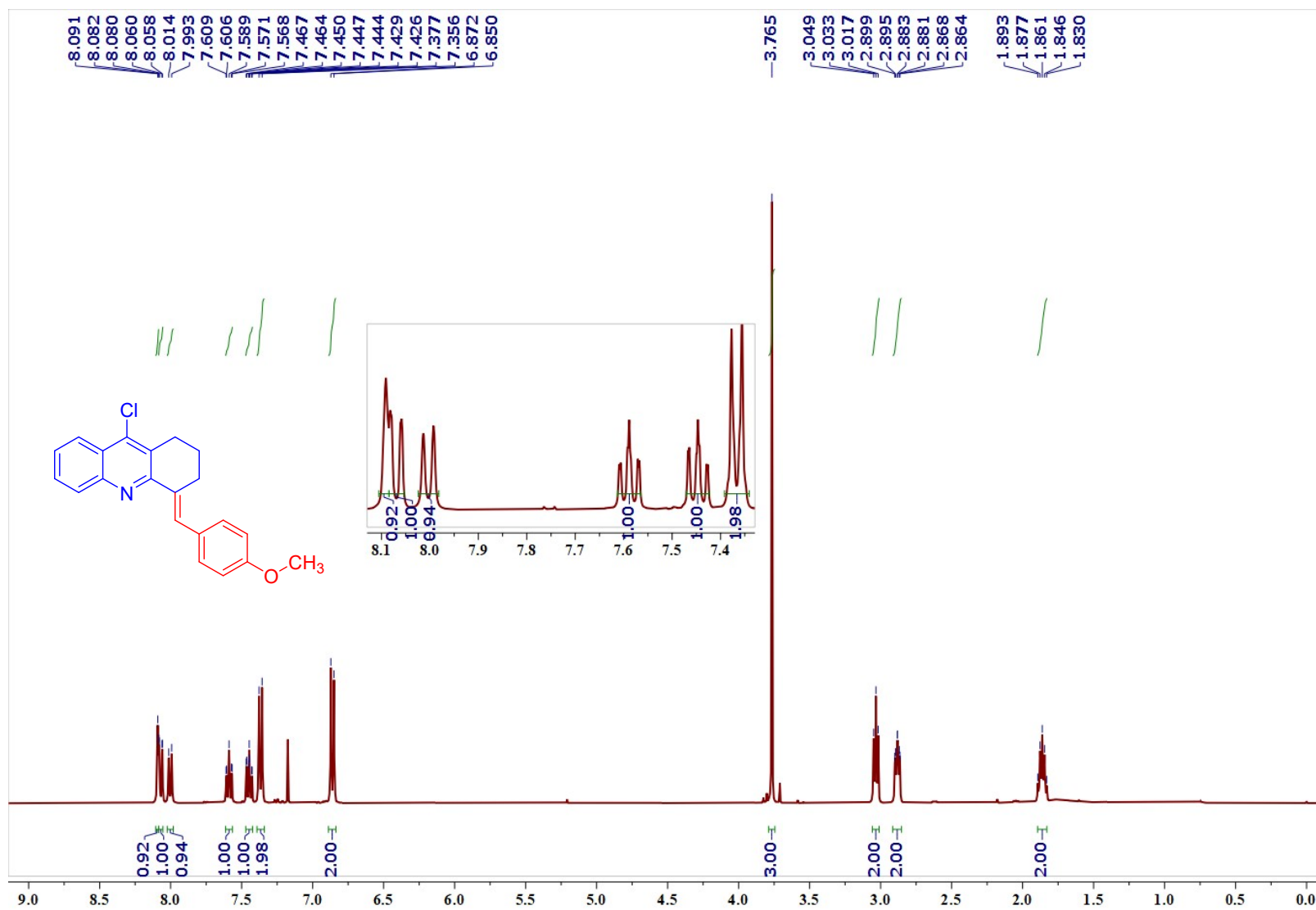
28.200  
27.471  
22.004  
21.402

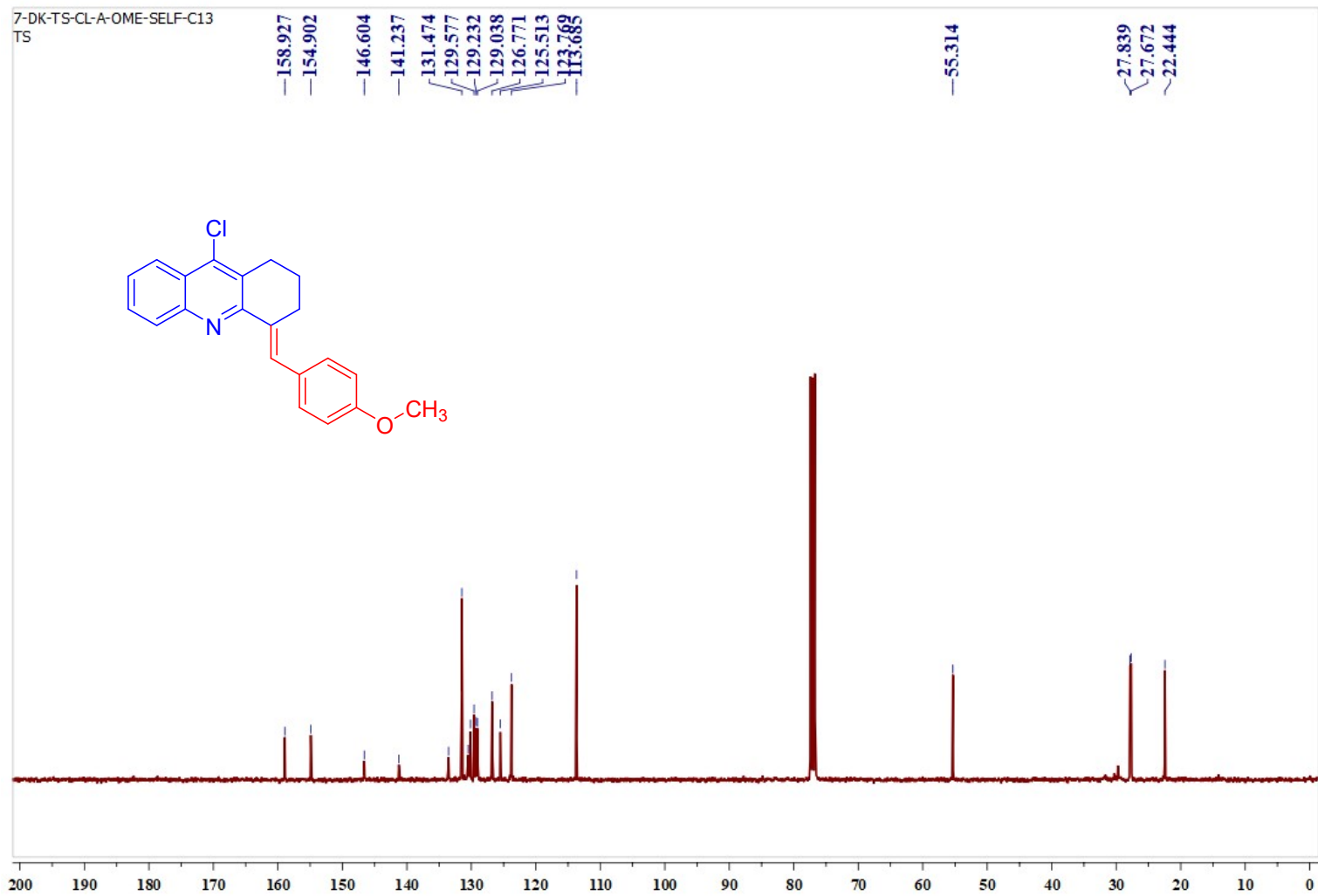


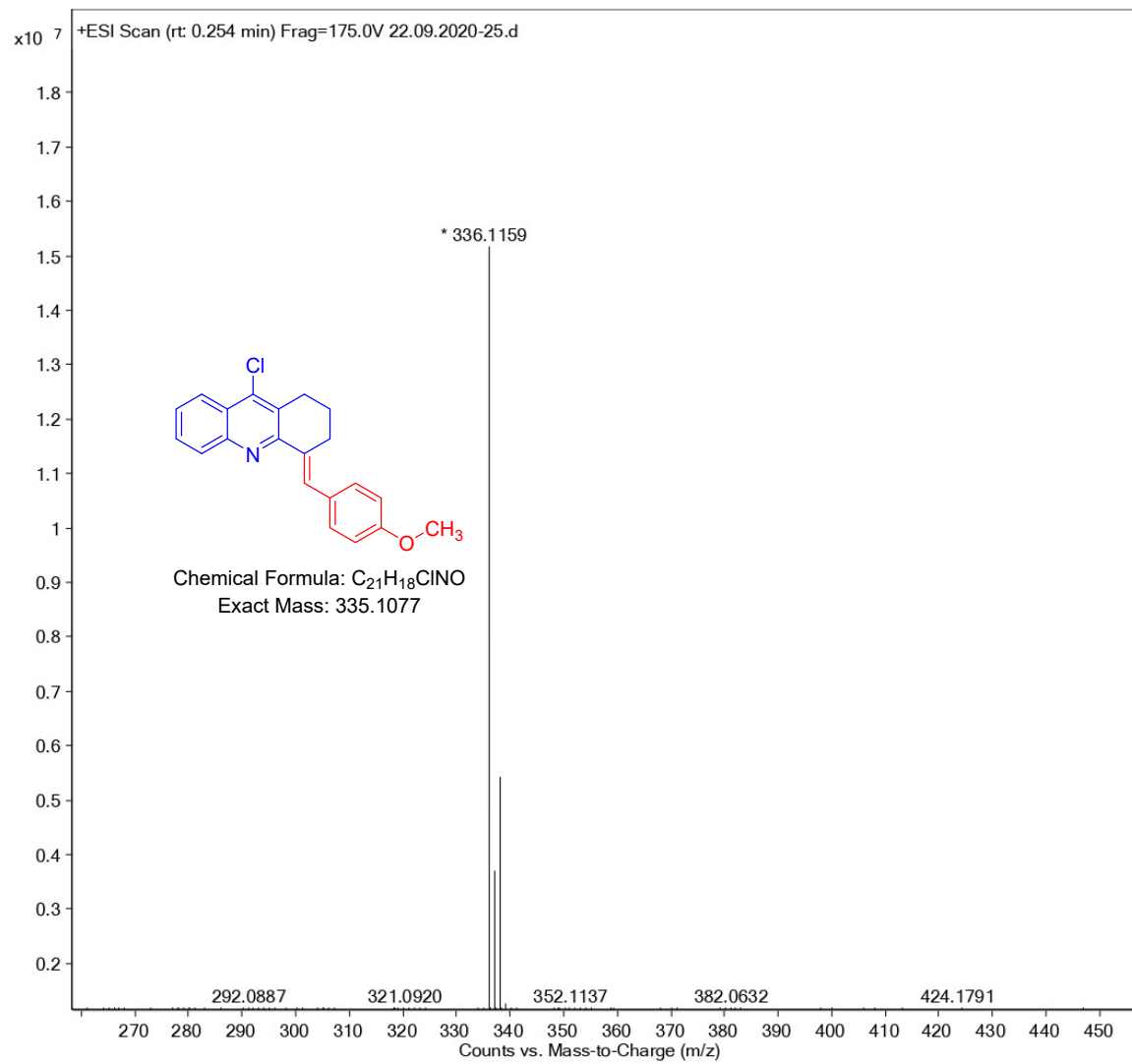




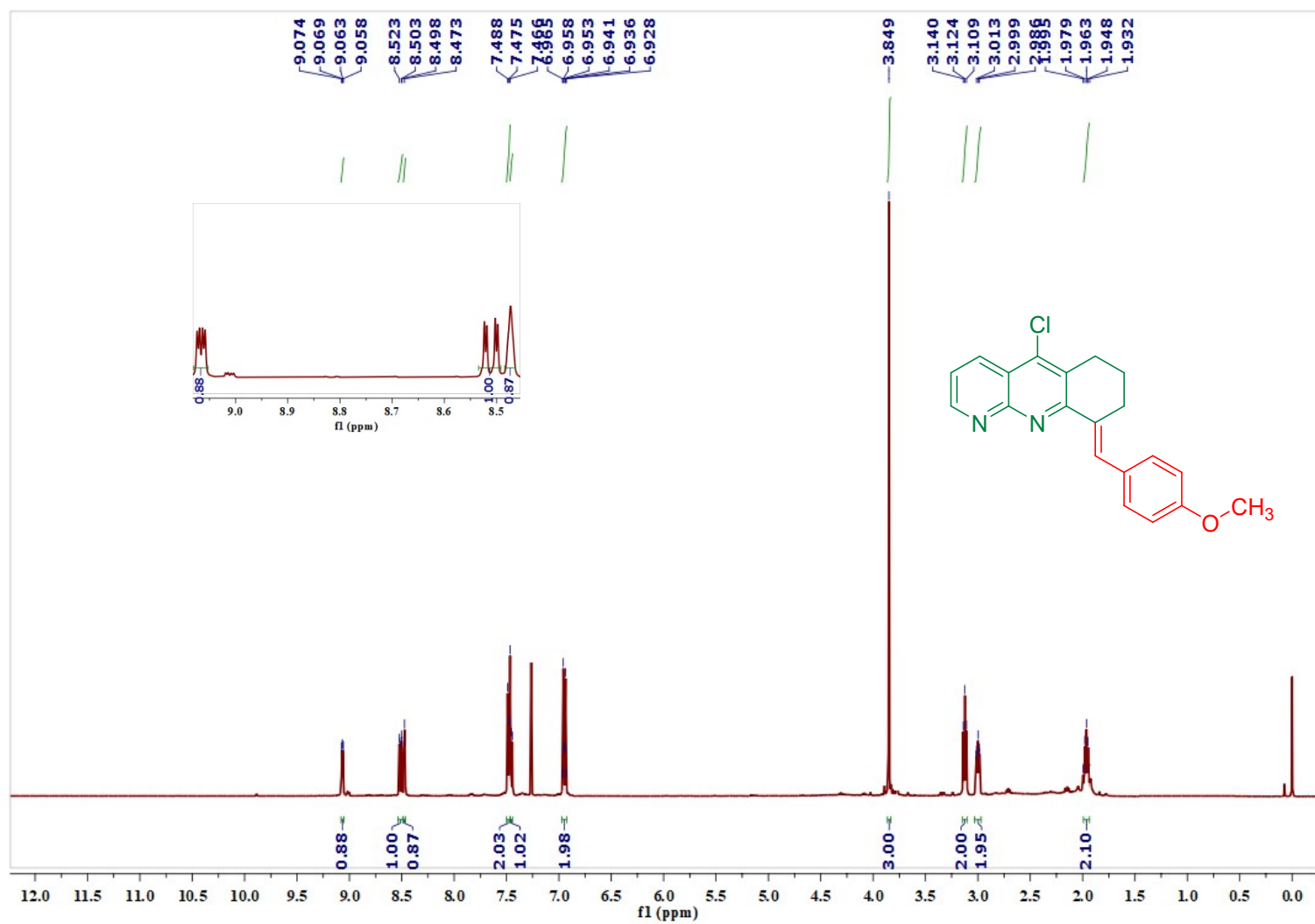
*(E)*-9-Chloro-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridine (5d):

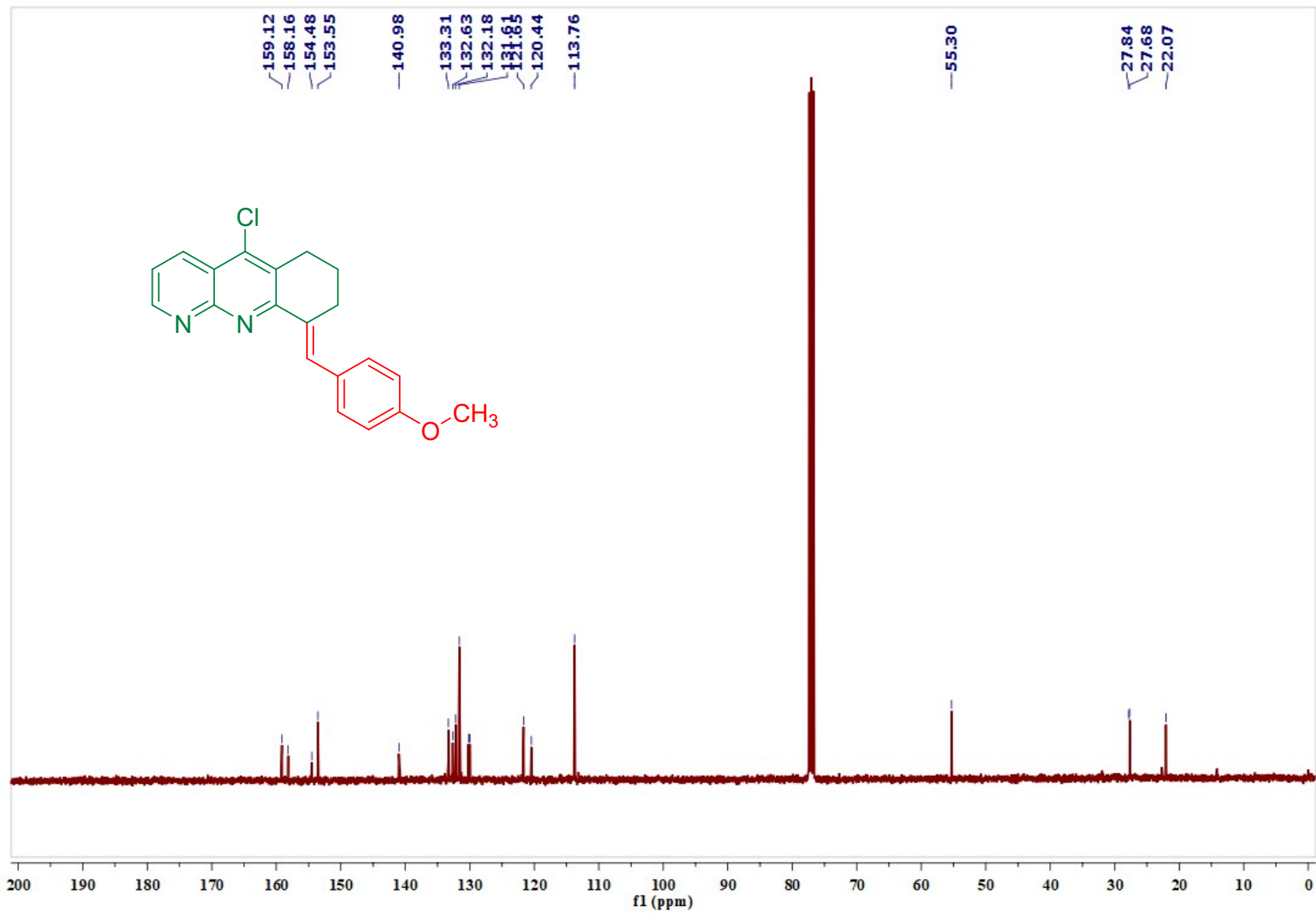


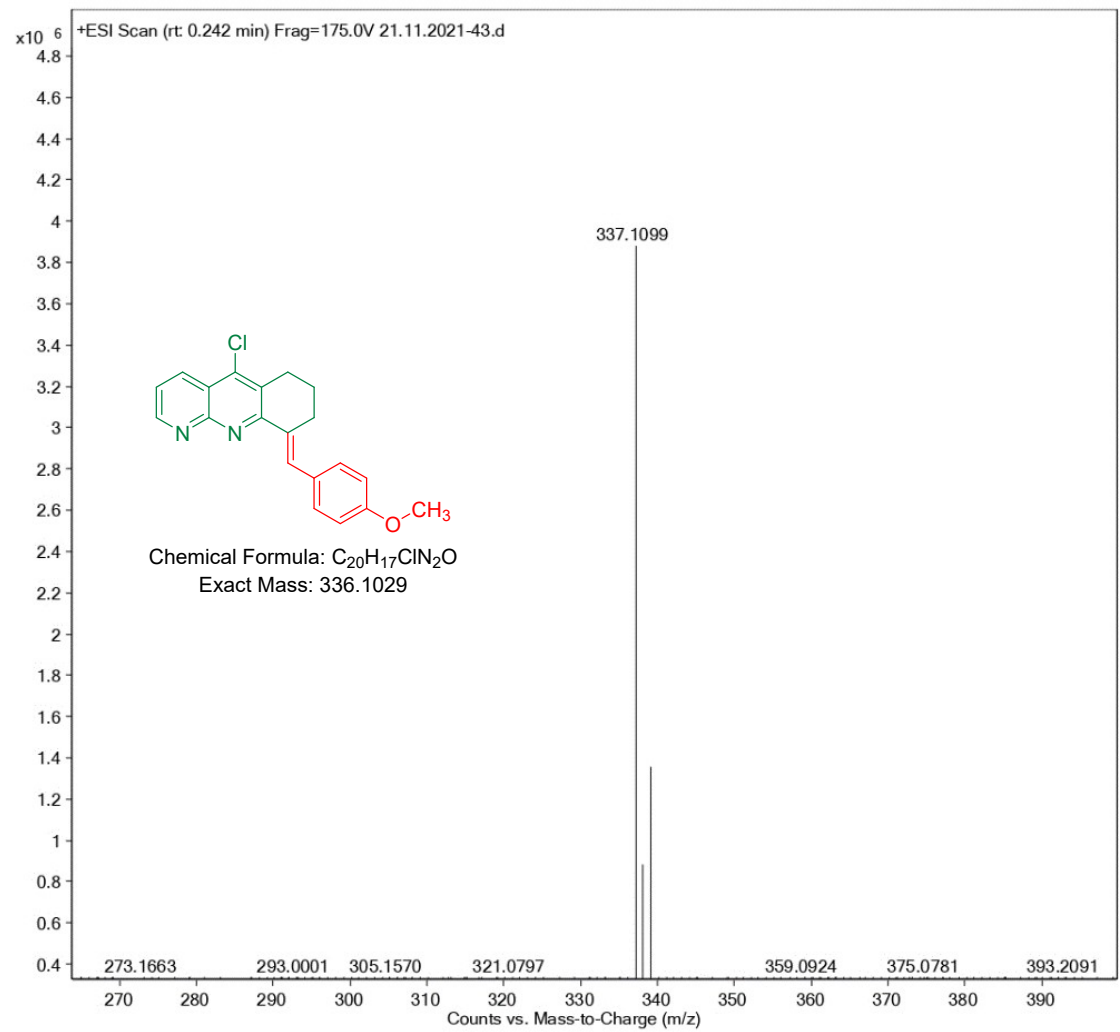




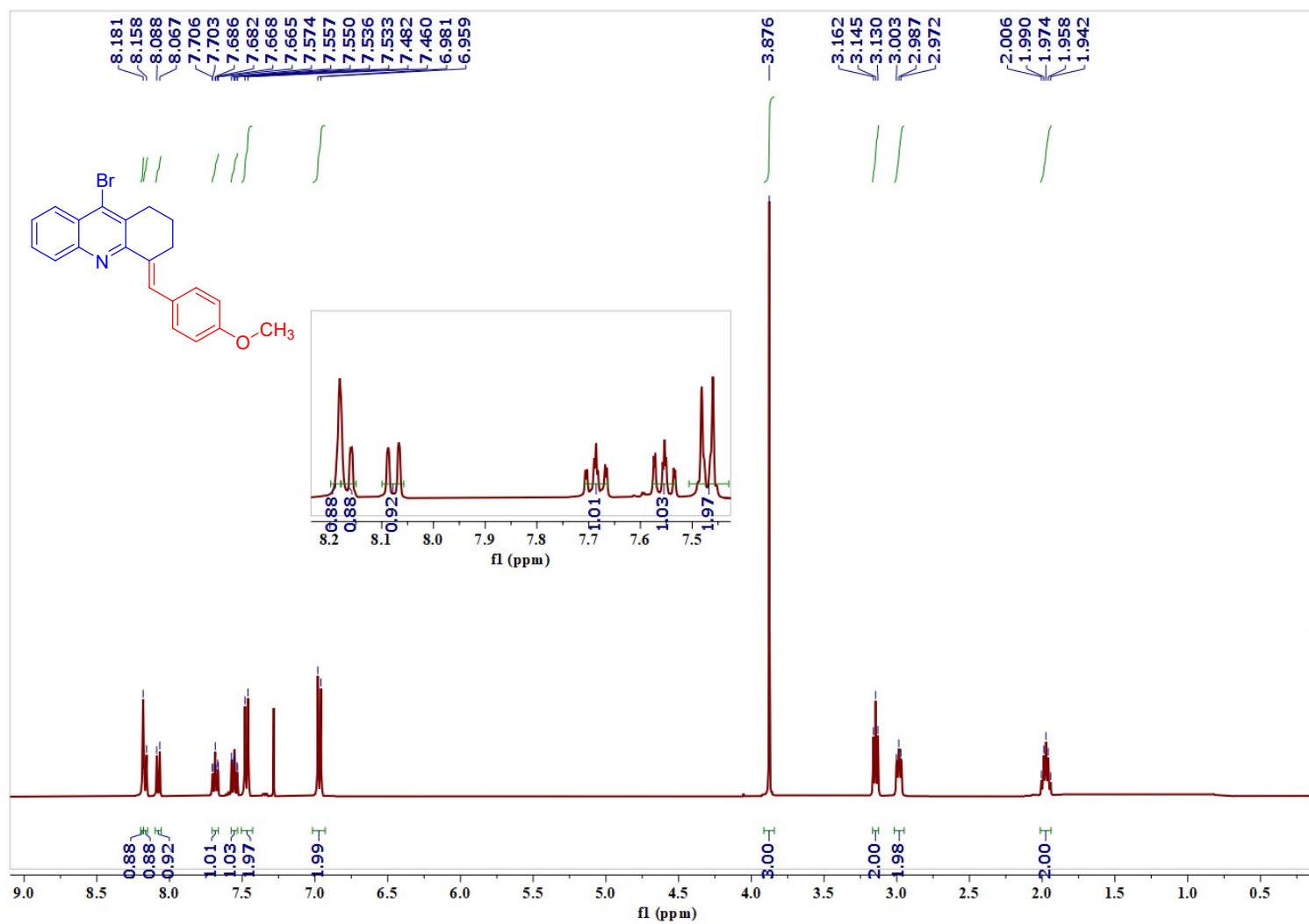
*(E)*-5-Chloro-9-(4-methoxybenzylidene)-6,7,8,9-tetrahydrobenzo[b][1,8]naphthyridine (*5e*):



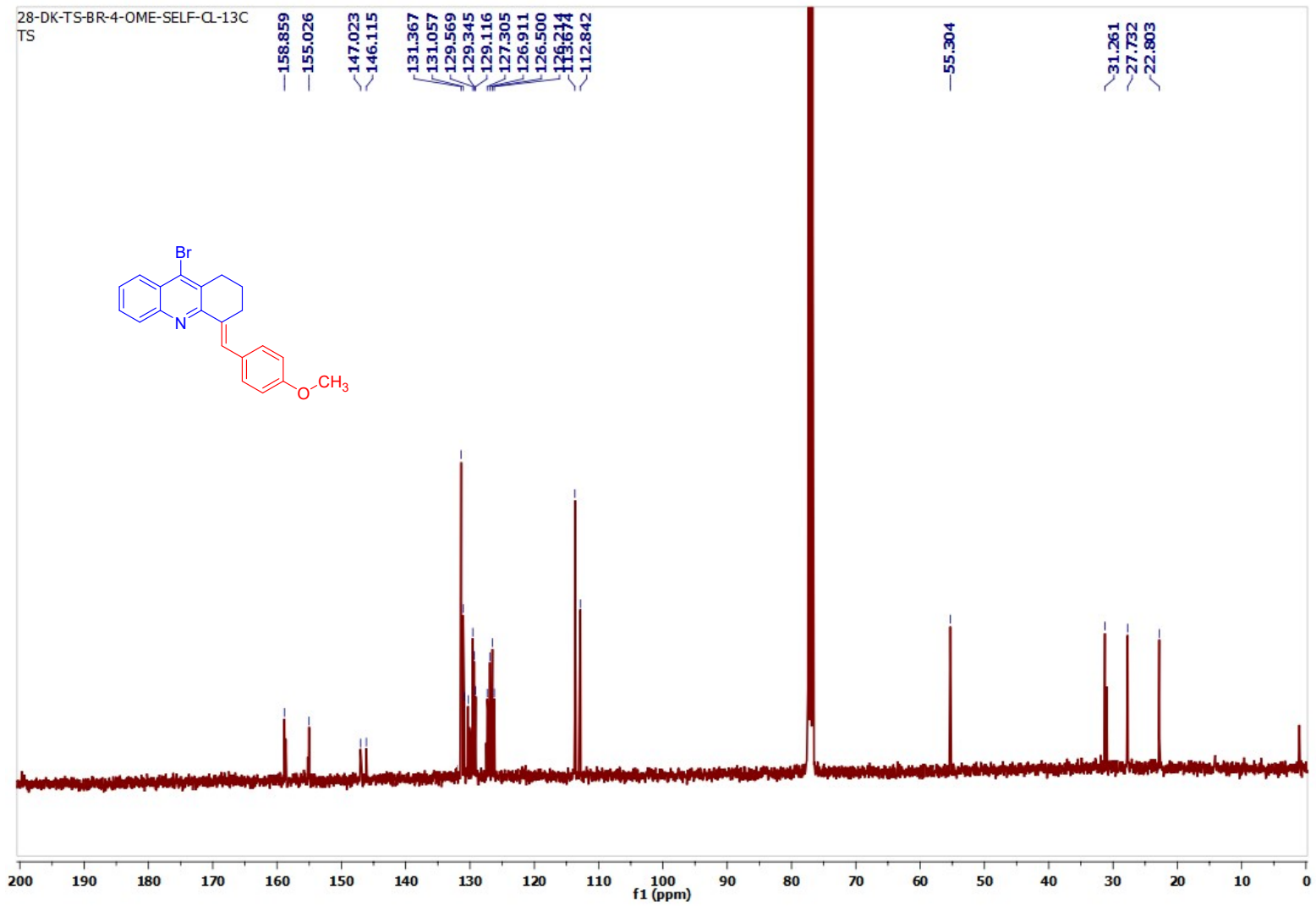


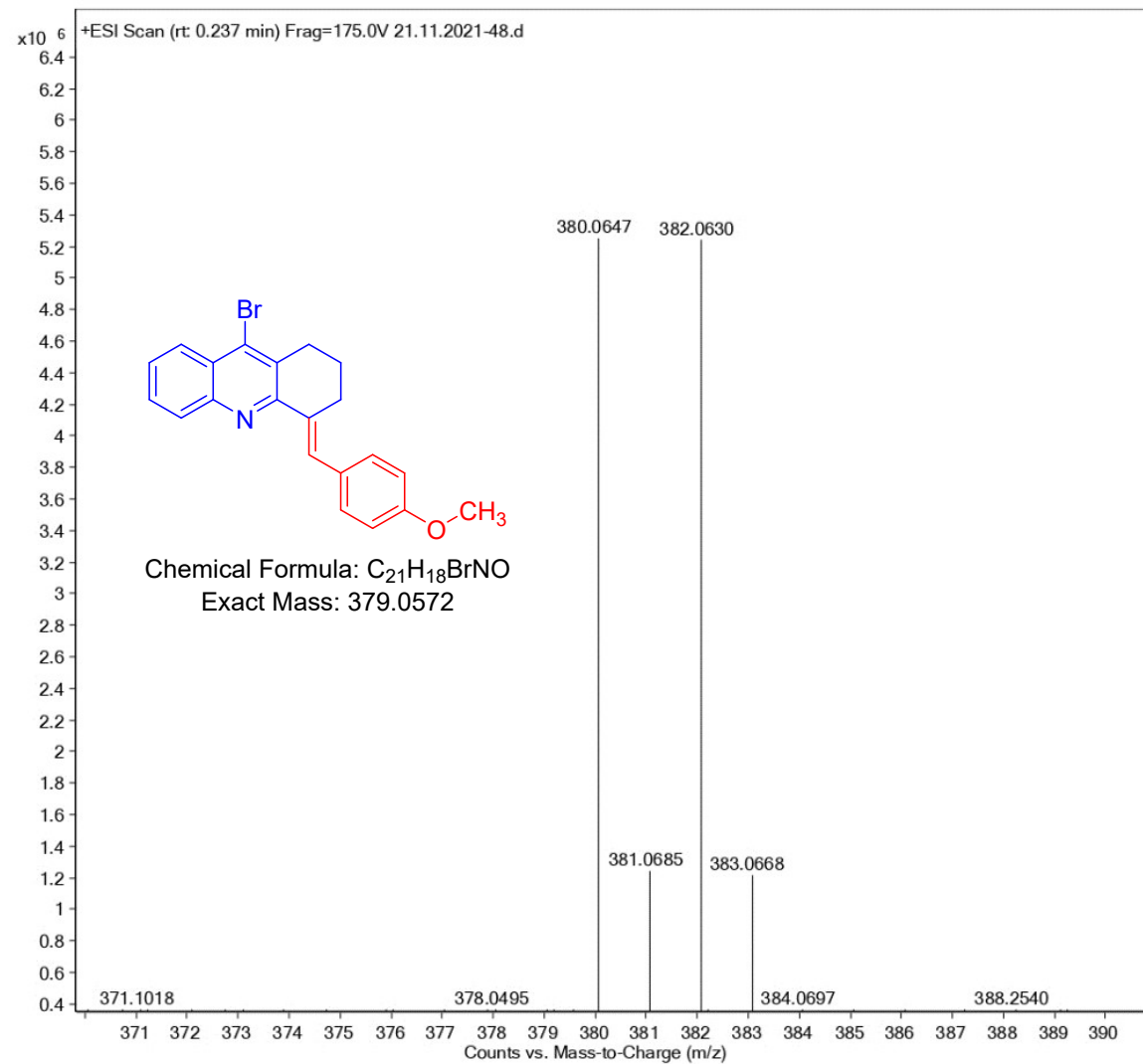


*(E)*-9-Bromo-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridine (**5f**):

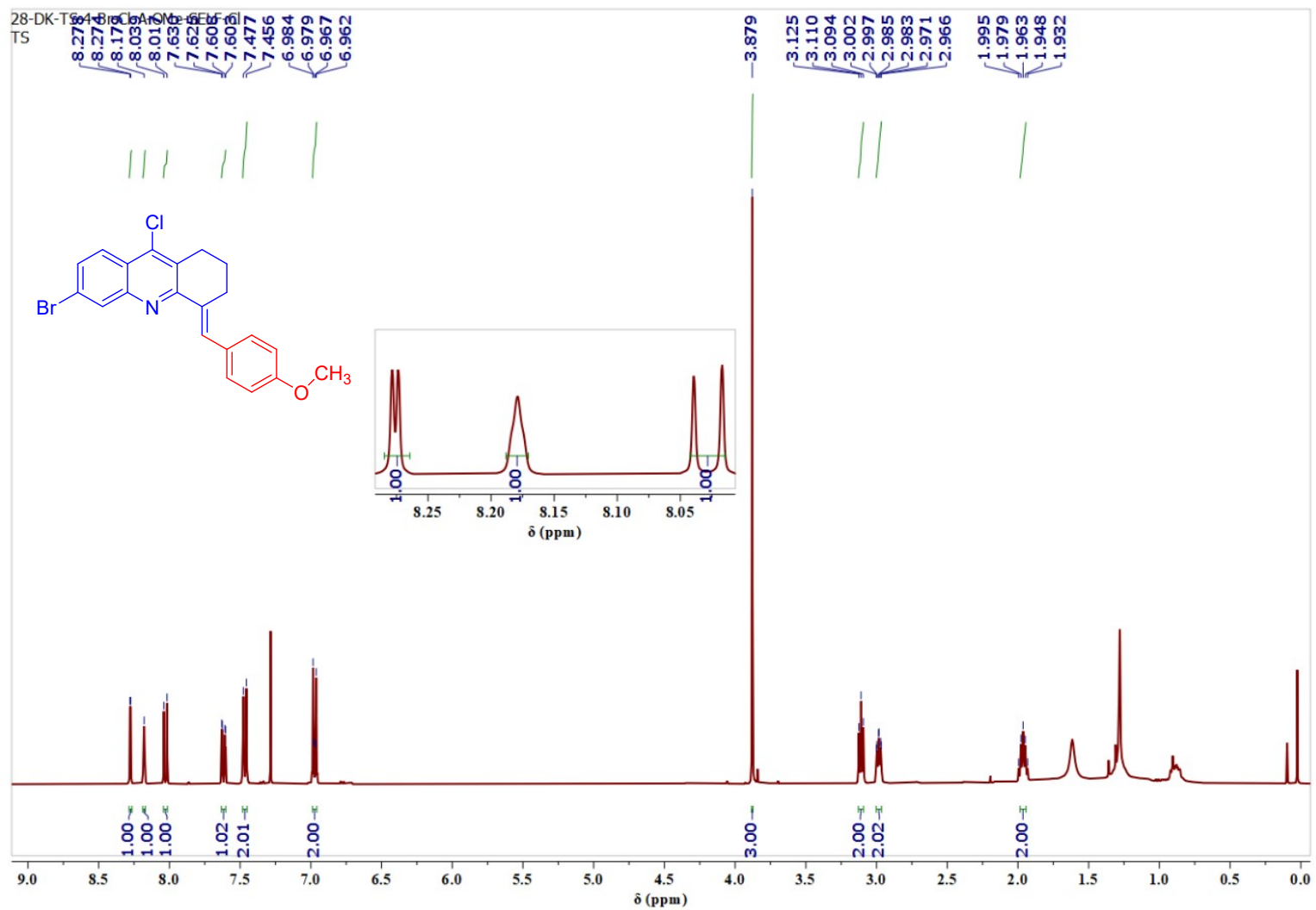


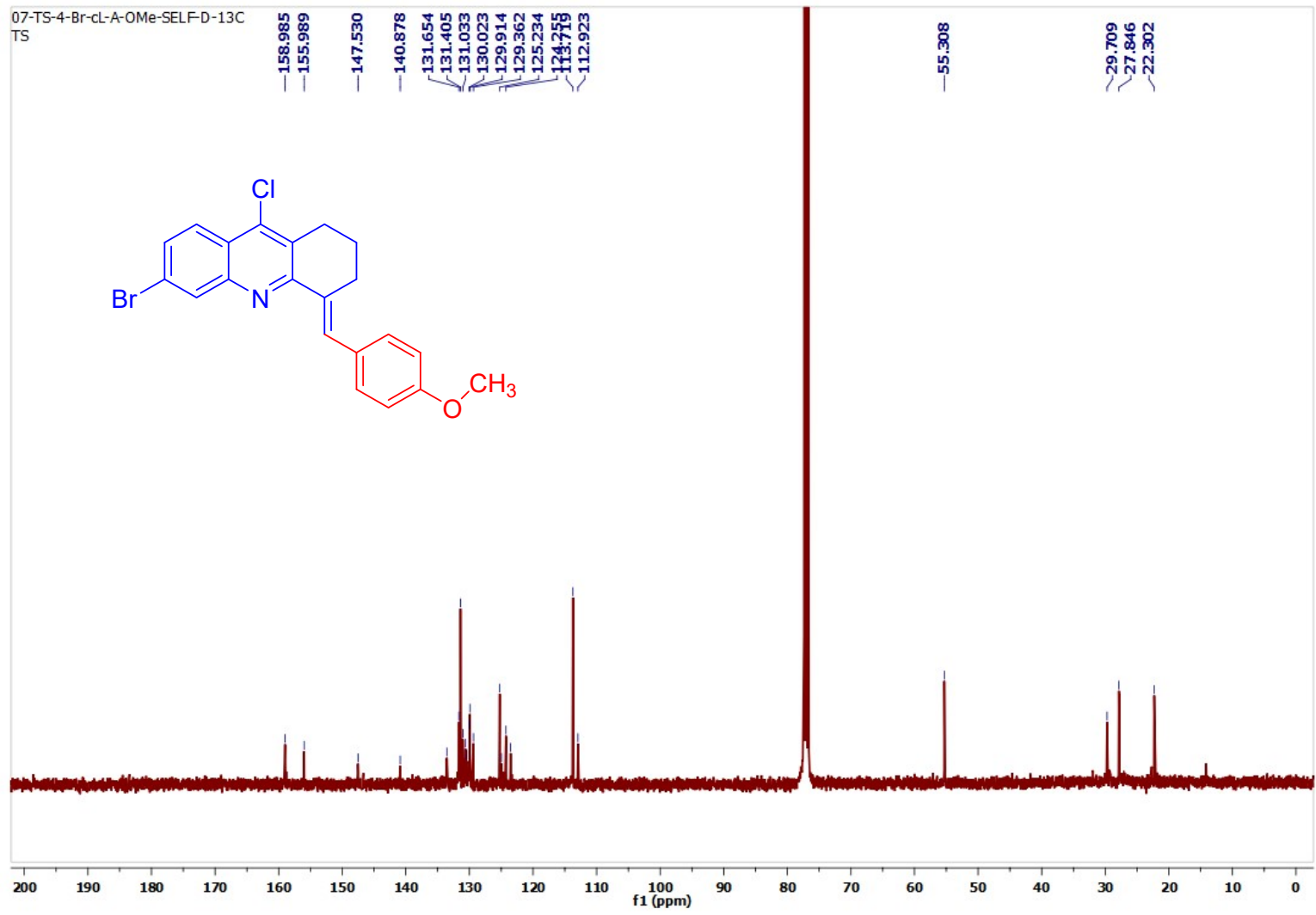


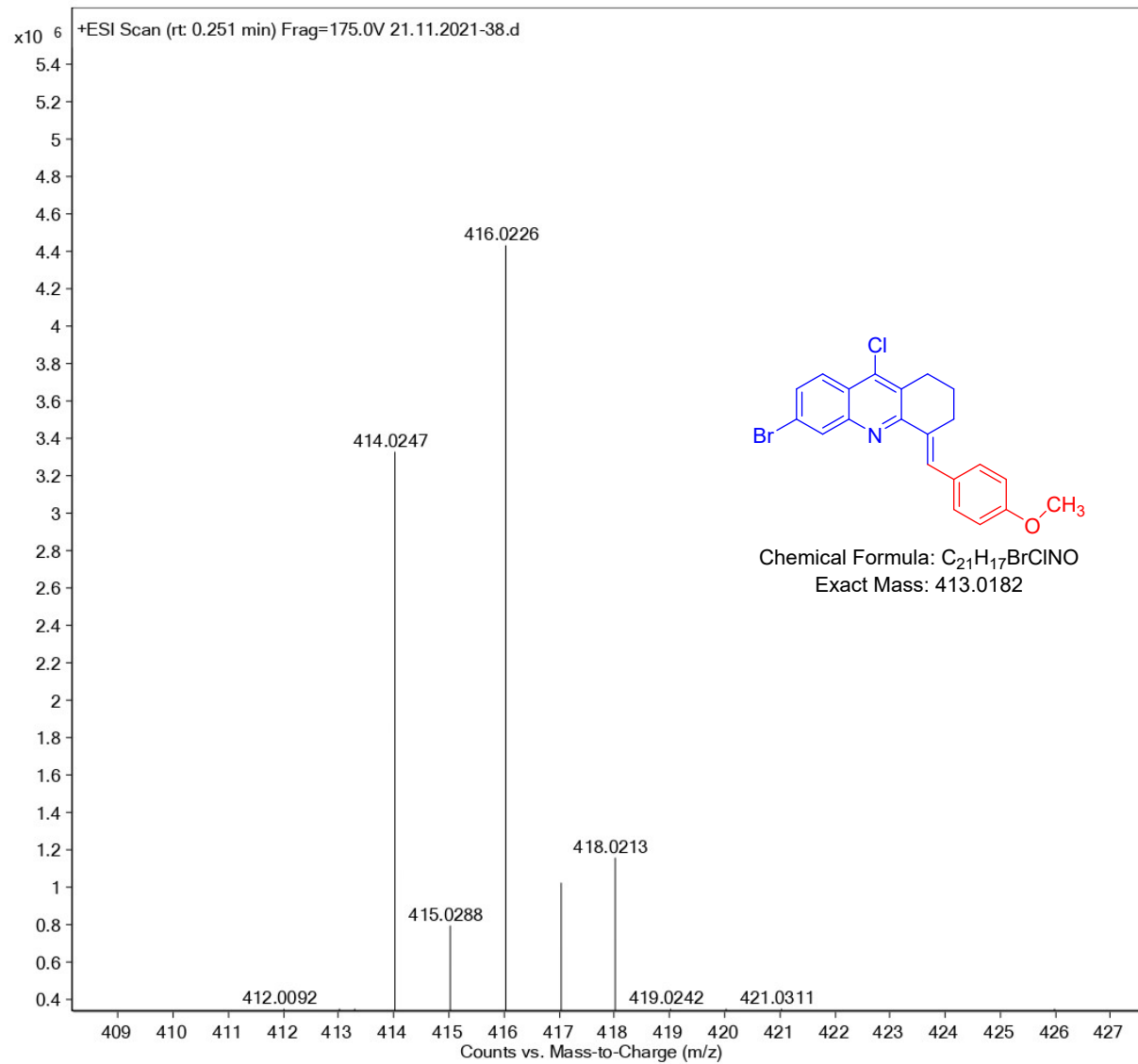




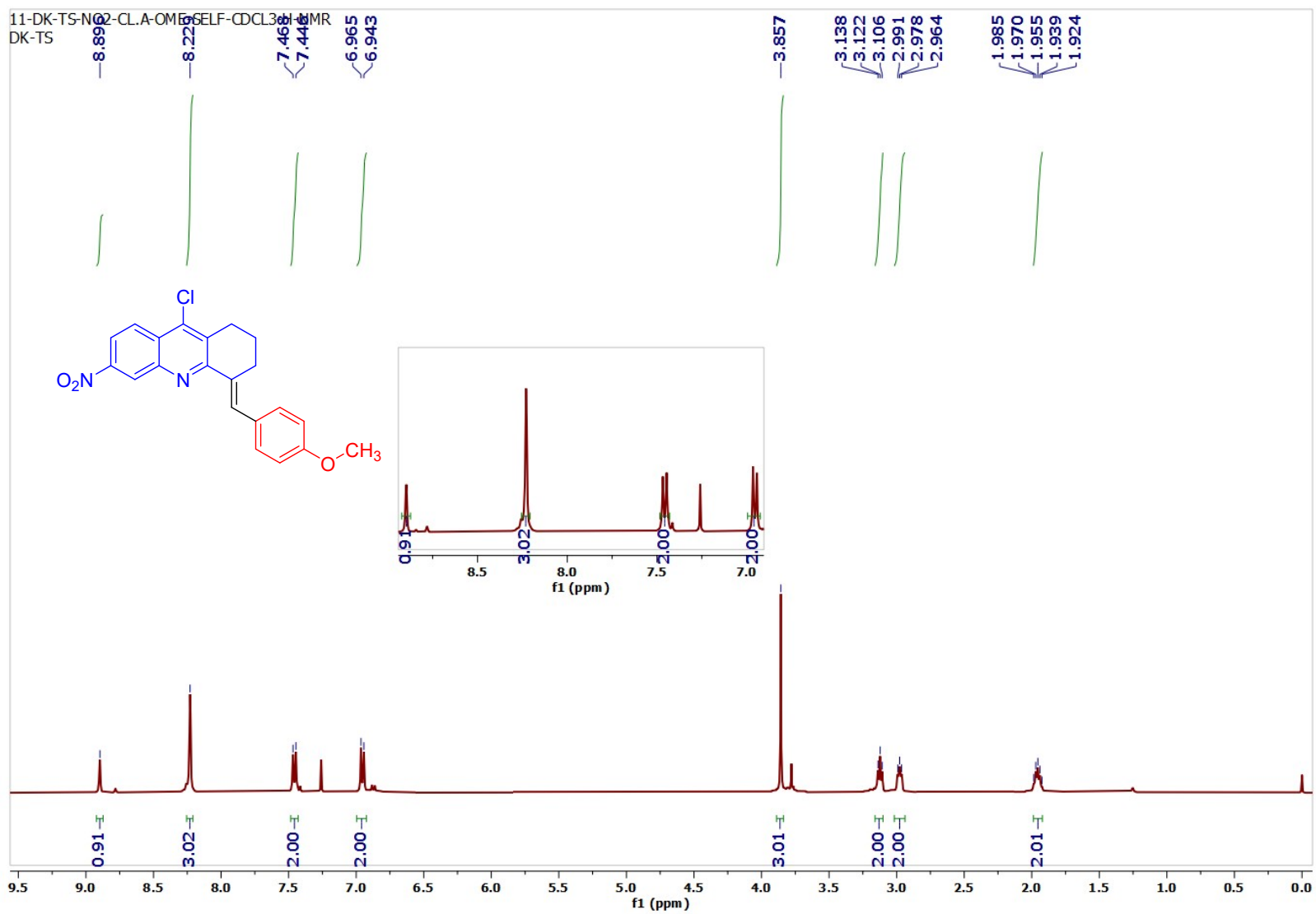
***(E)*-6-Bromo-9-chloro-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridine (5g):**

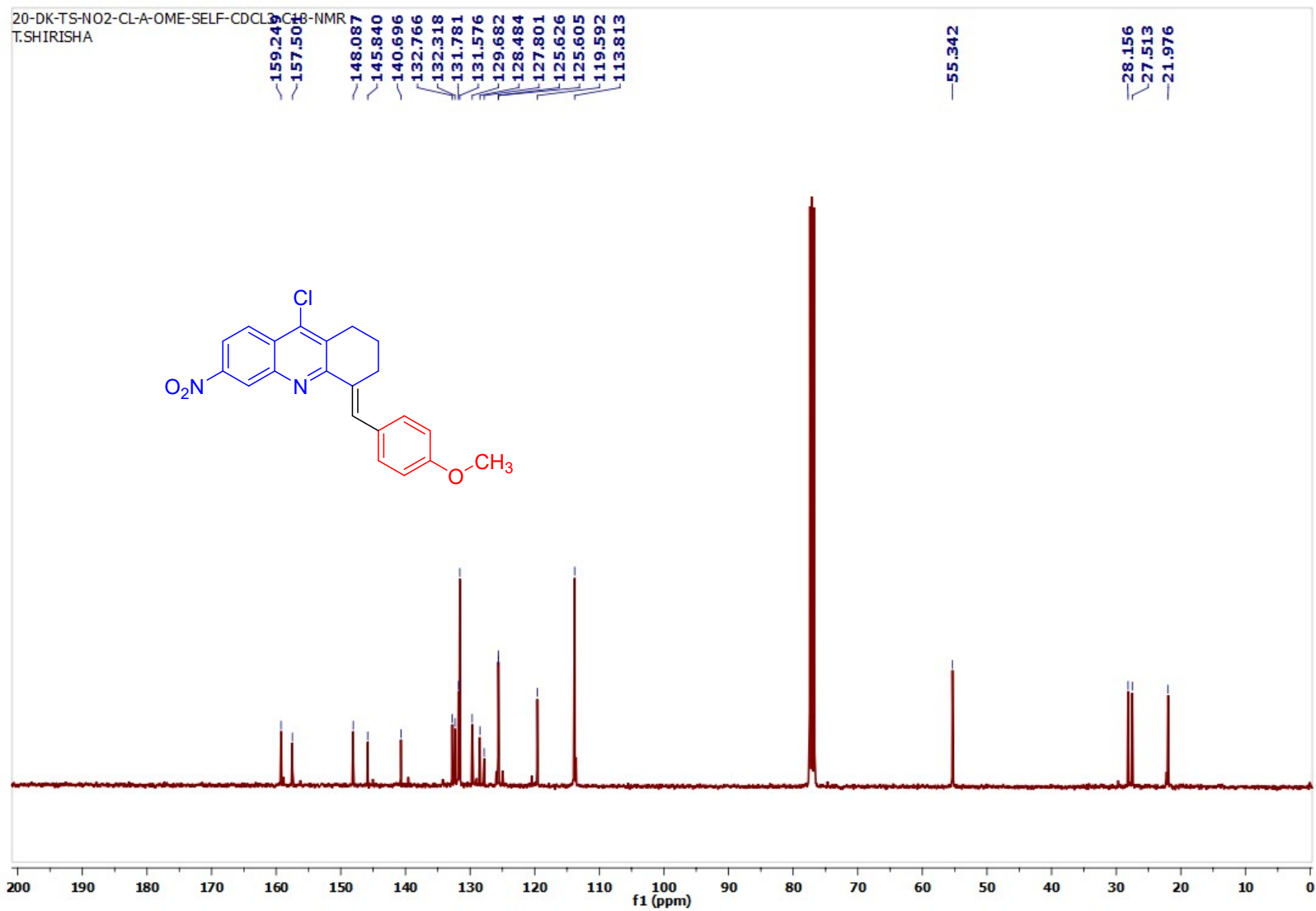




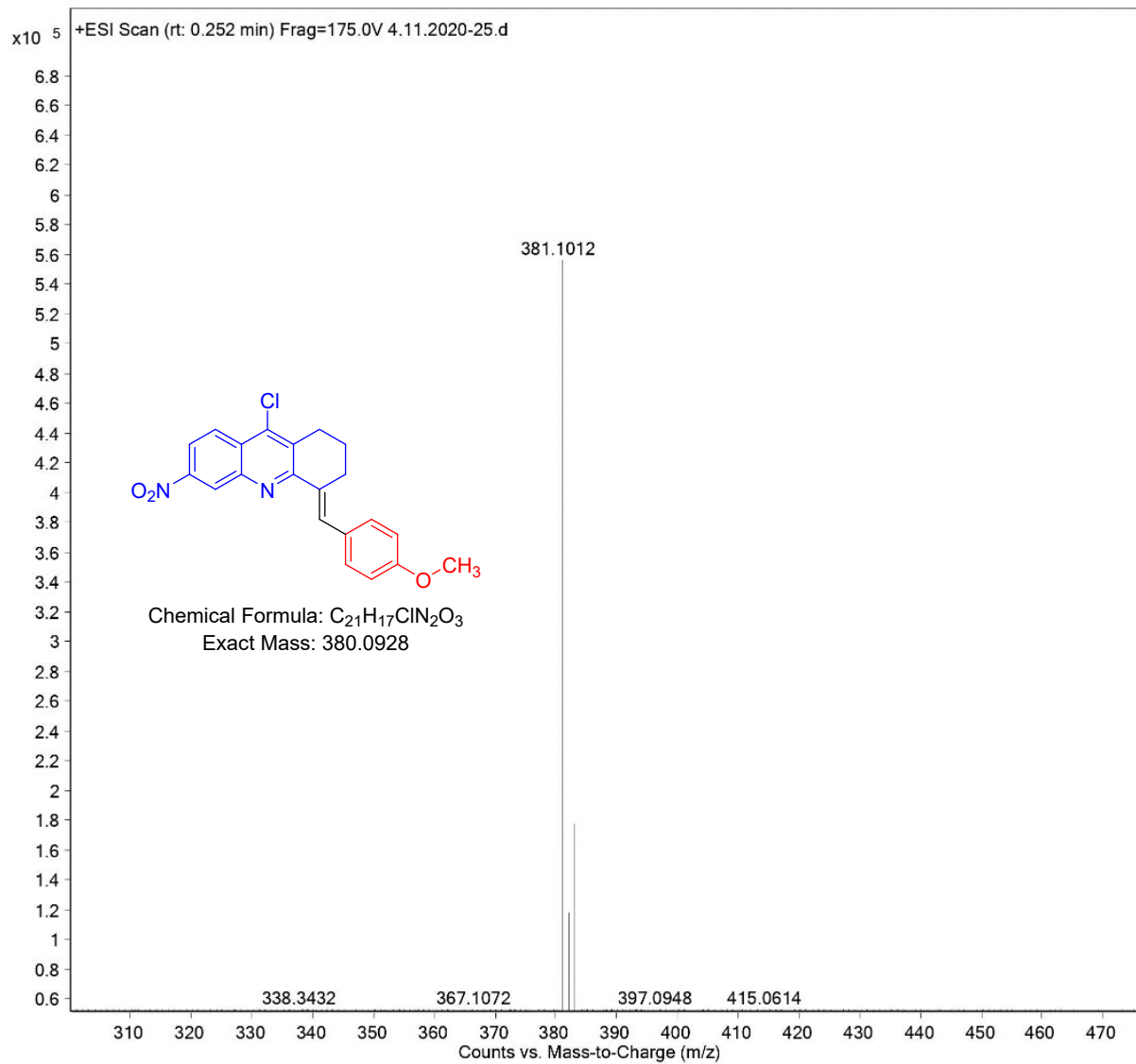


*(E)*-9-Chloro-4-(4-methoxybenzylidene)-6-nitro-1,2,3,4-tetrahydroacridine(5h):

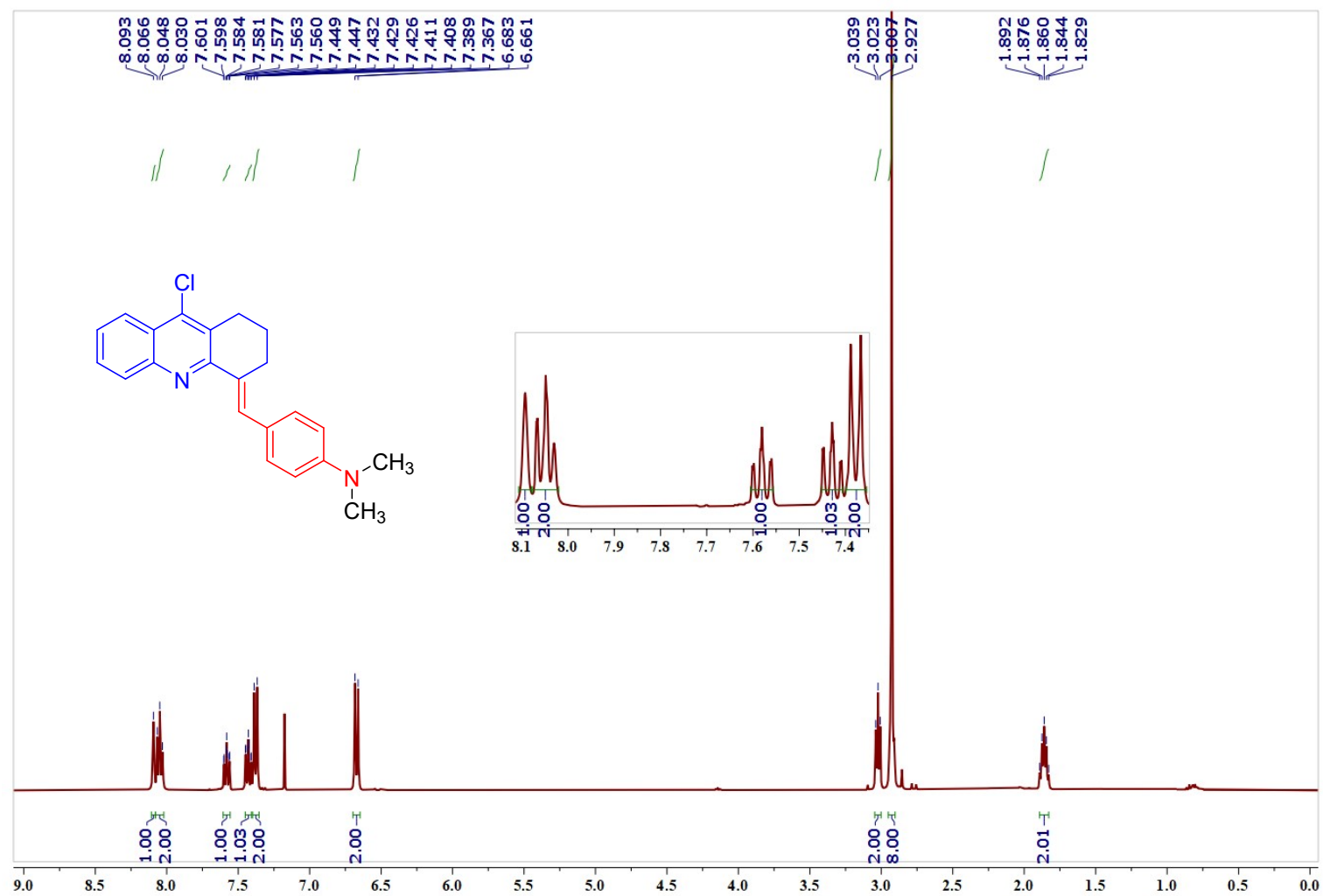


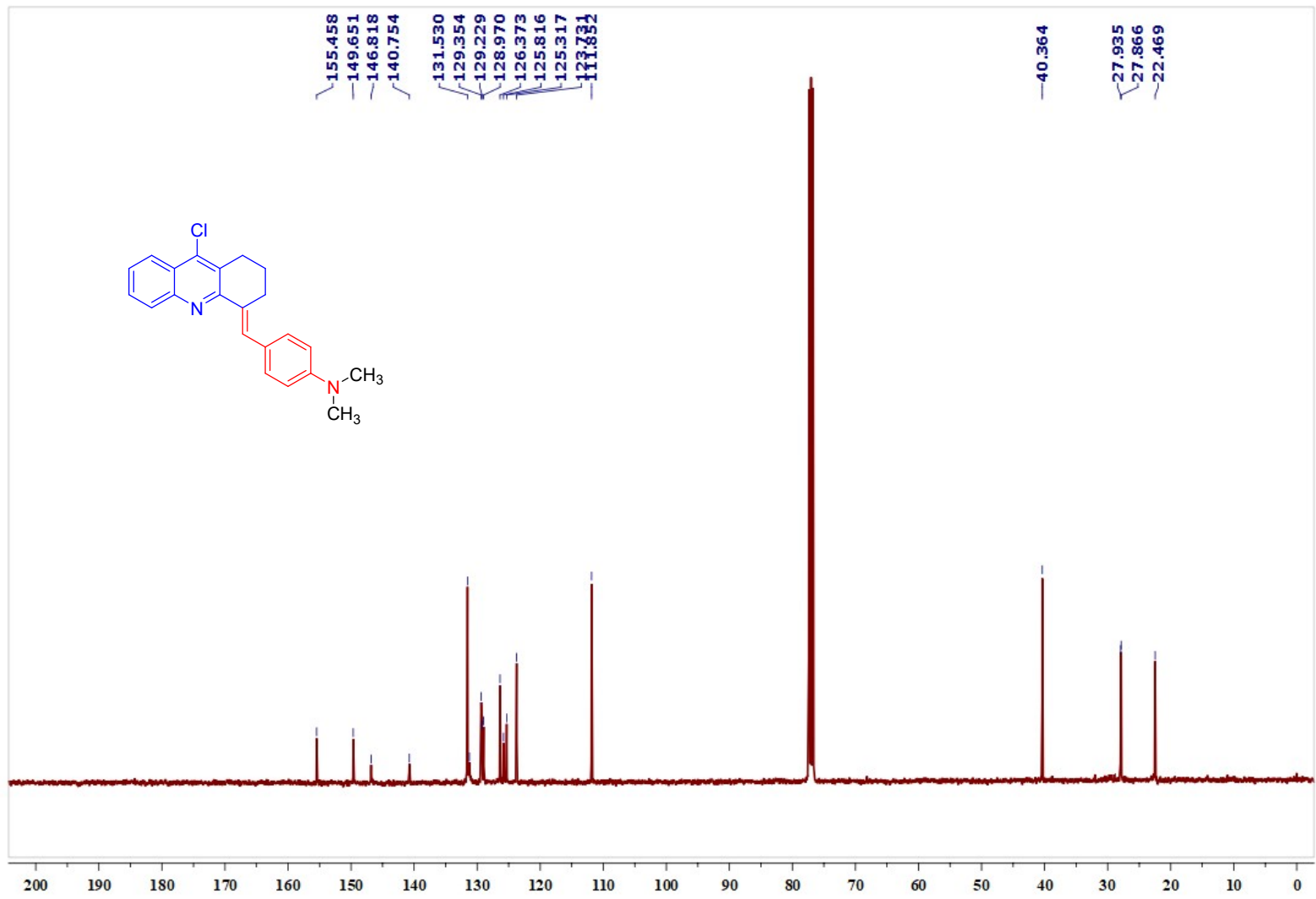


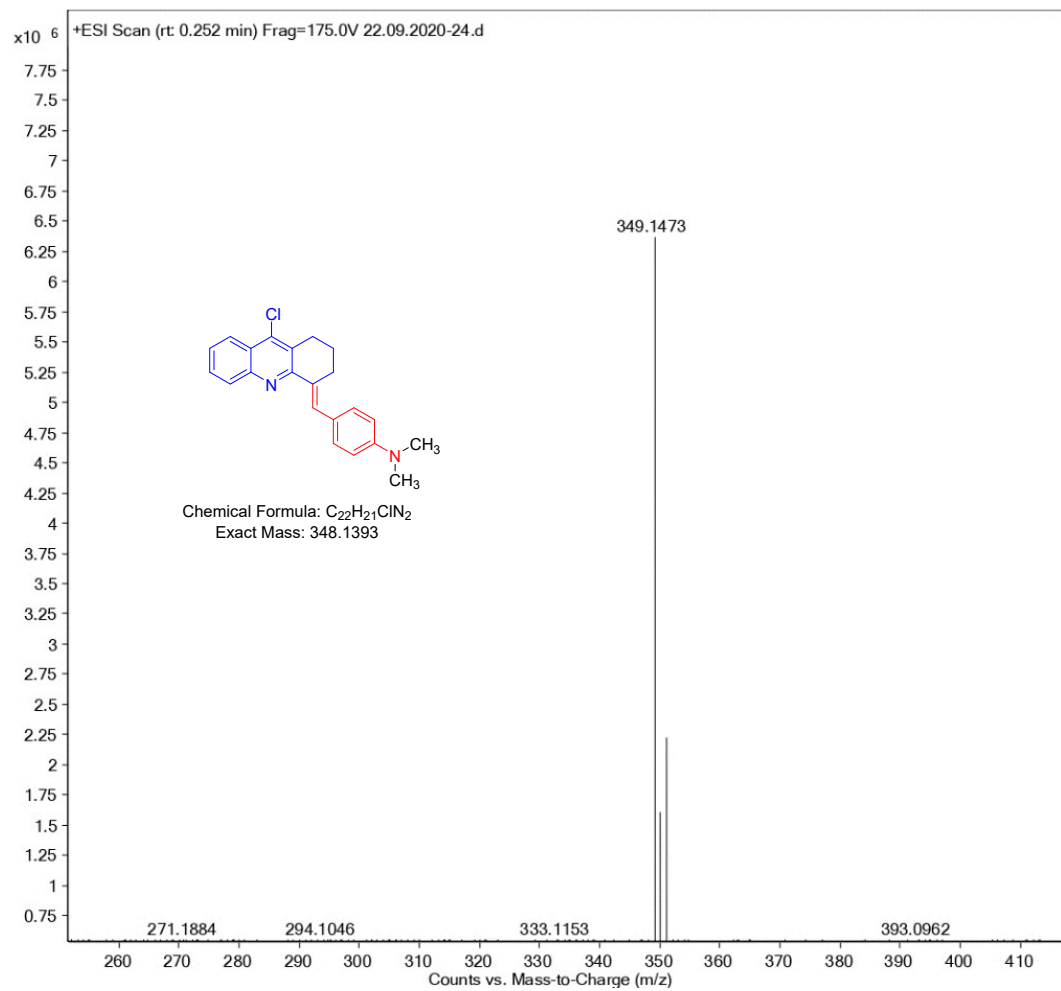




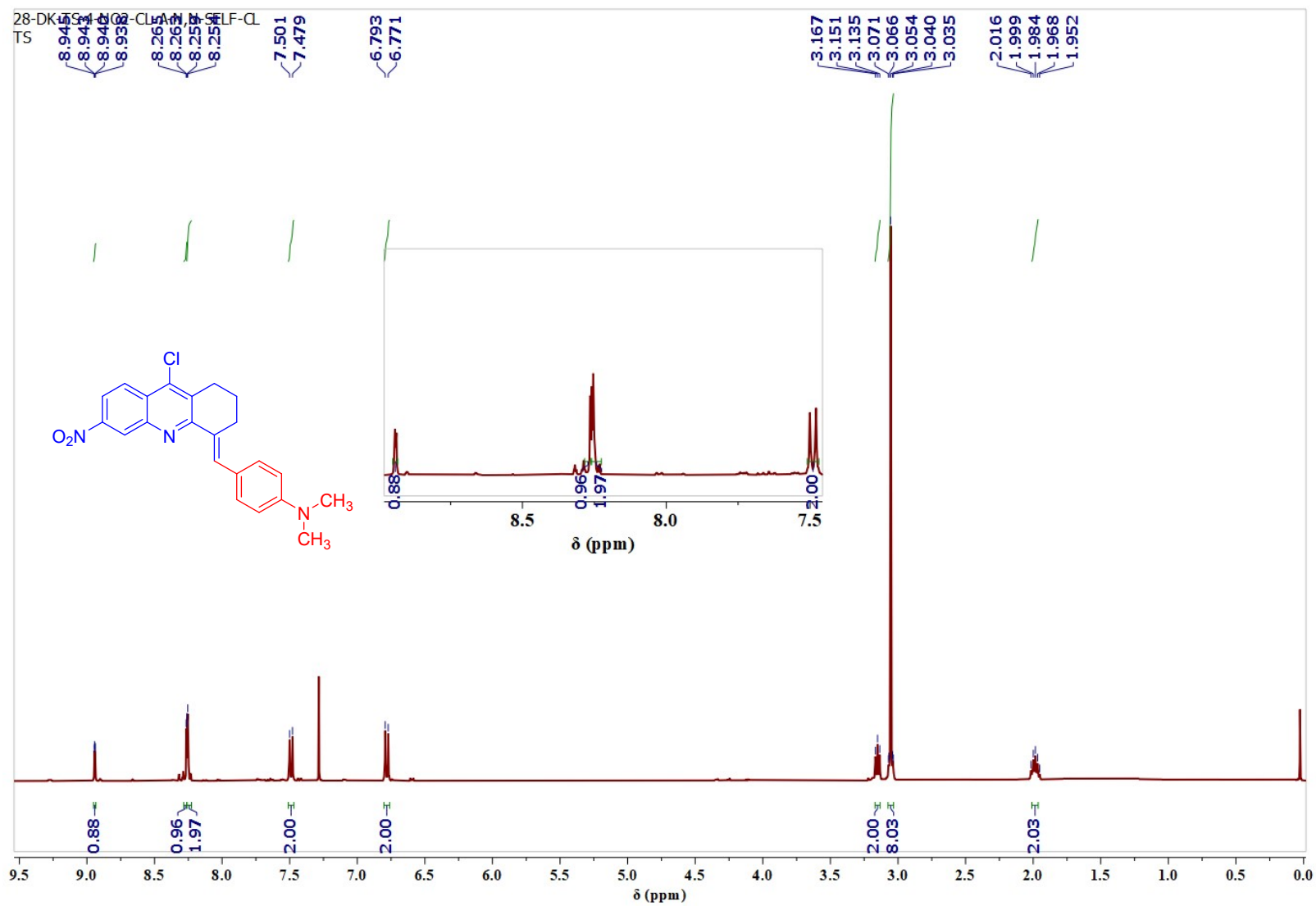
*(E)*-4-((9-Chloro-2,3-dihydroacridin-4(1H)-ylidene)methyl)-*N,N*-dimethylaniline (5i):

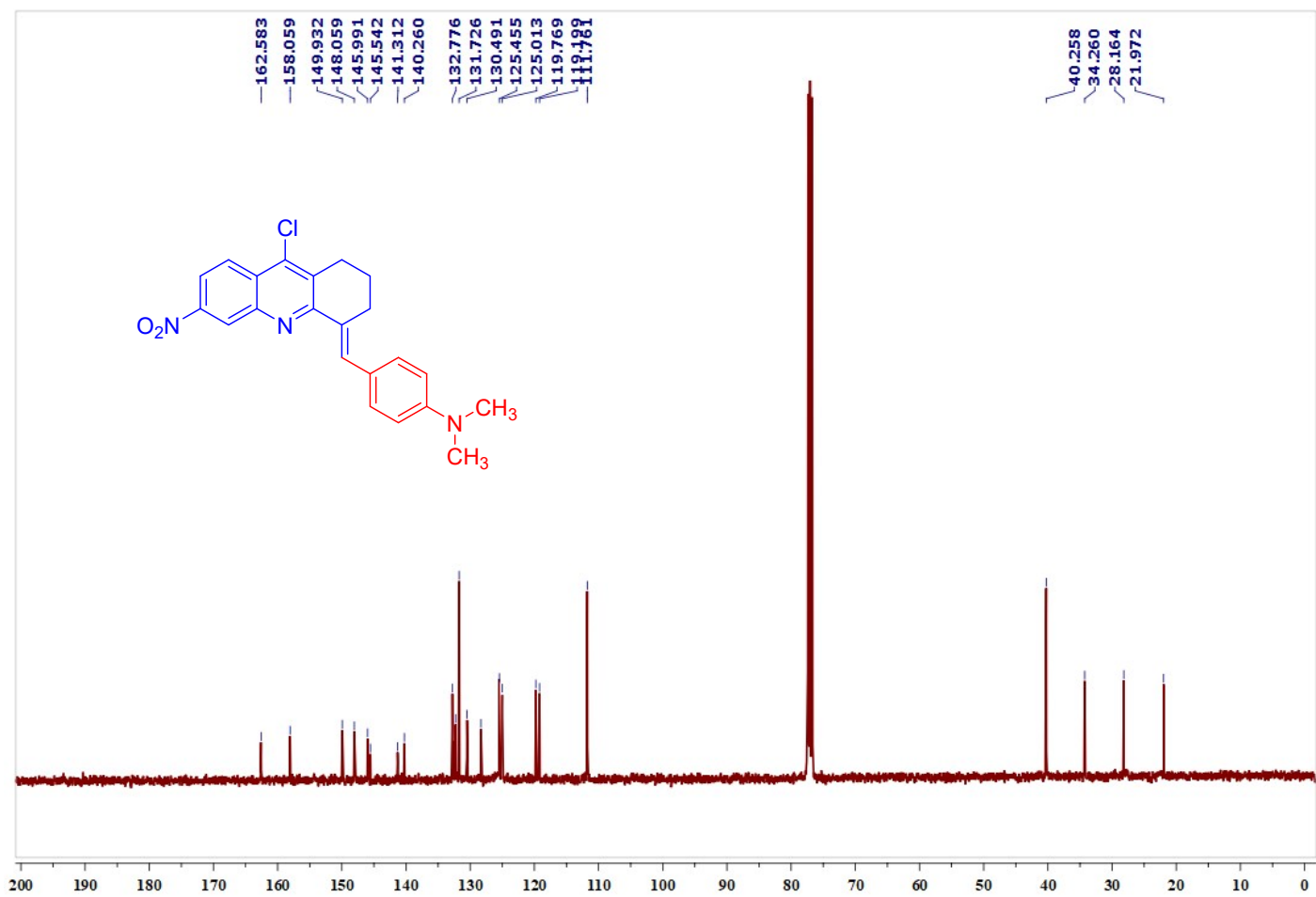


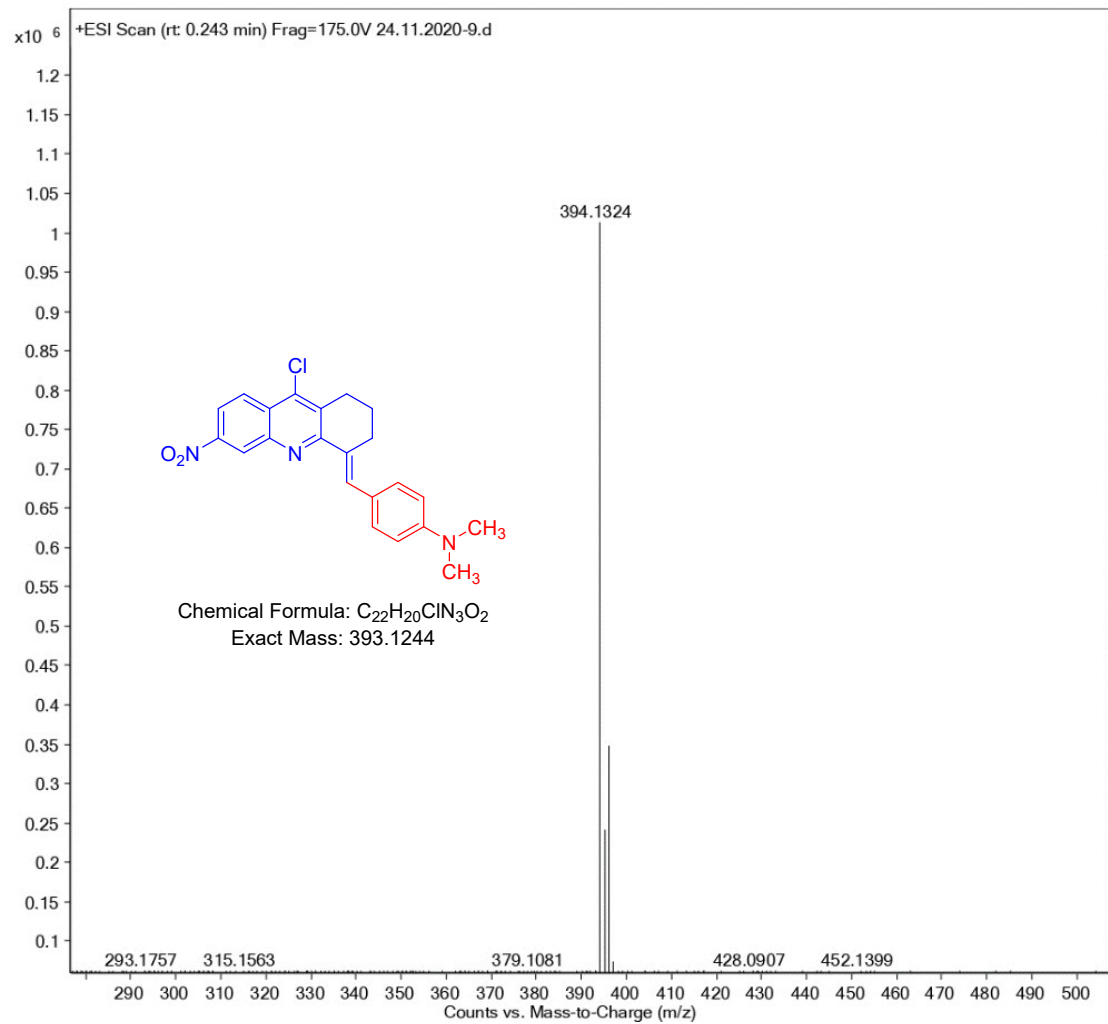




*(E)*-4-((9-Chloro-6-nitro-2,3-dihydroacridin-4(1H)-ylidene)methyl)-*N,N*-dimethylaniline (**5j**):

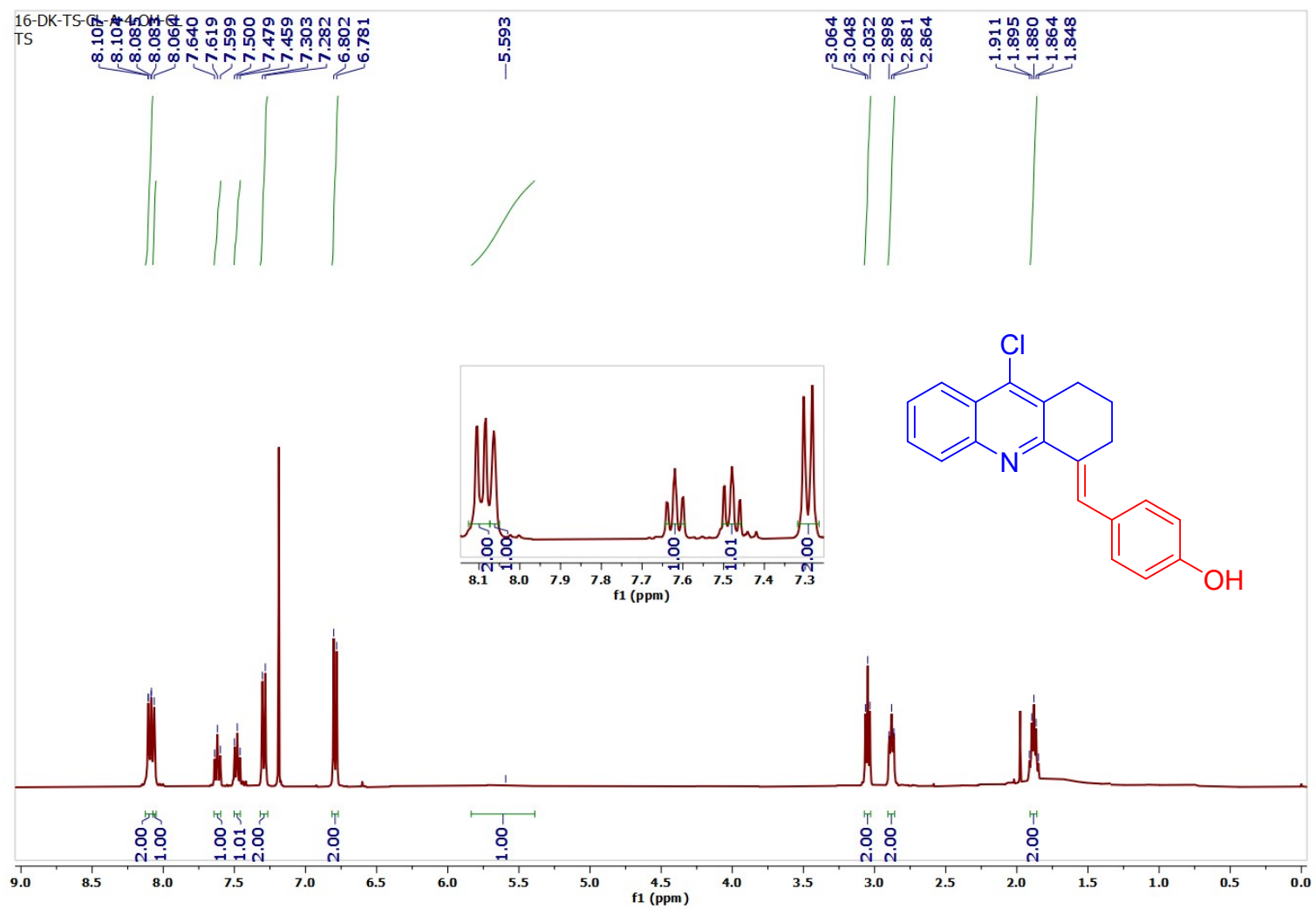


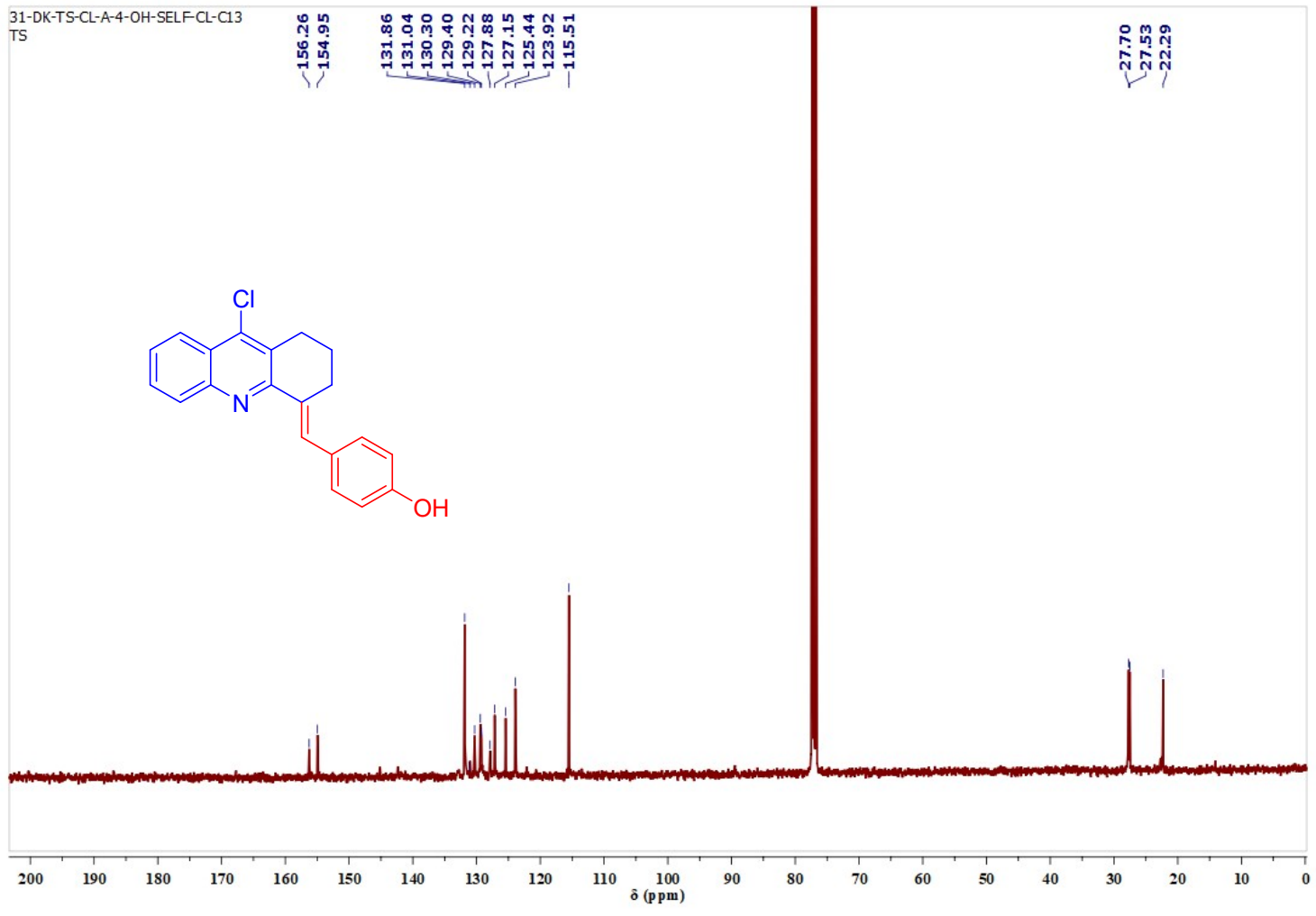


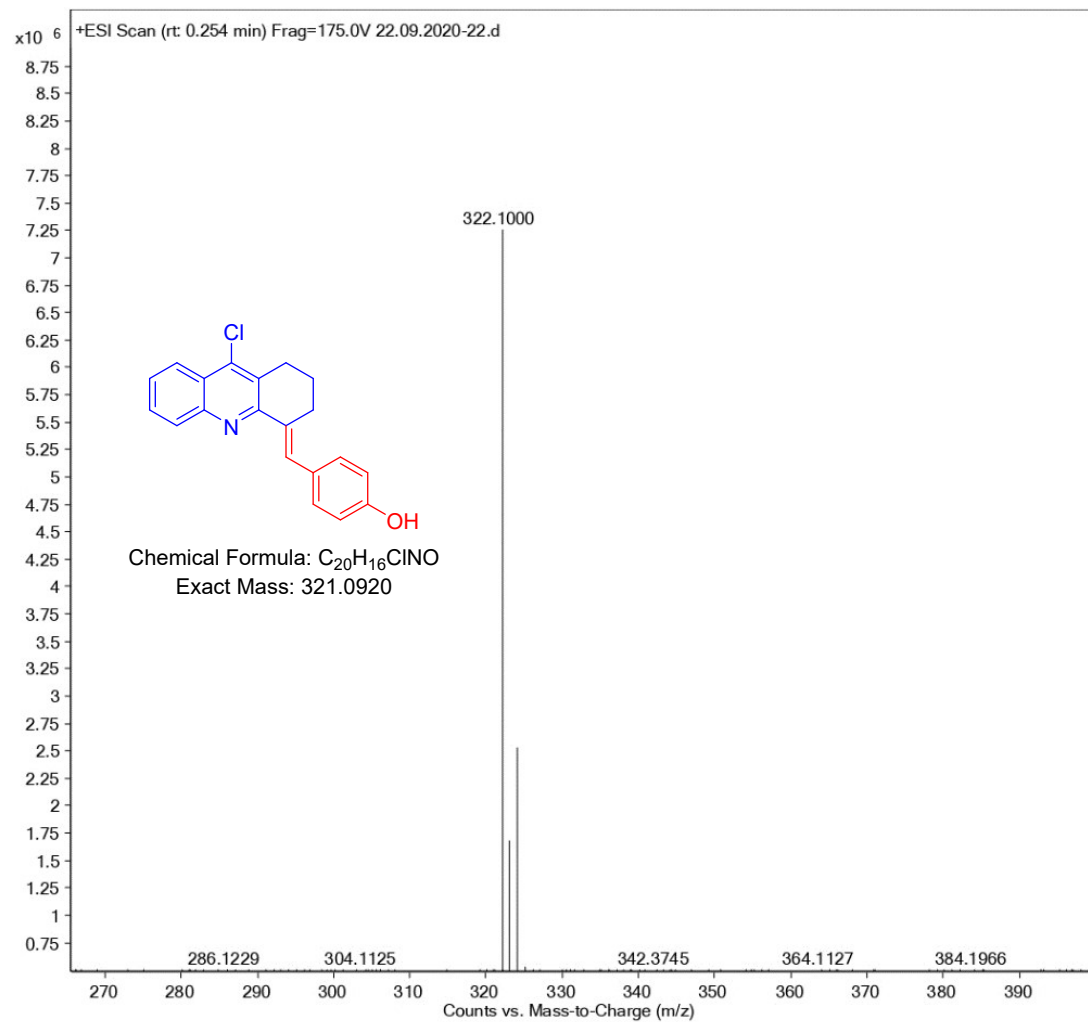




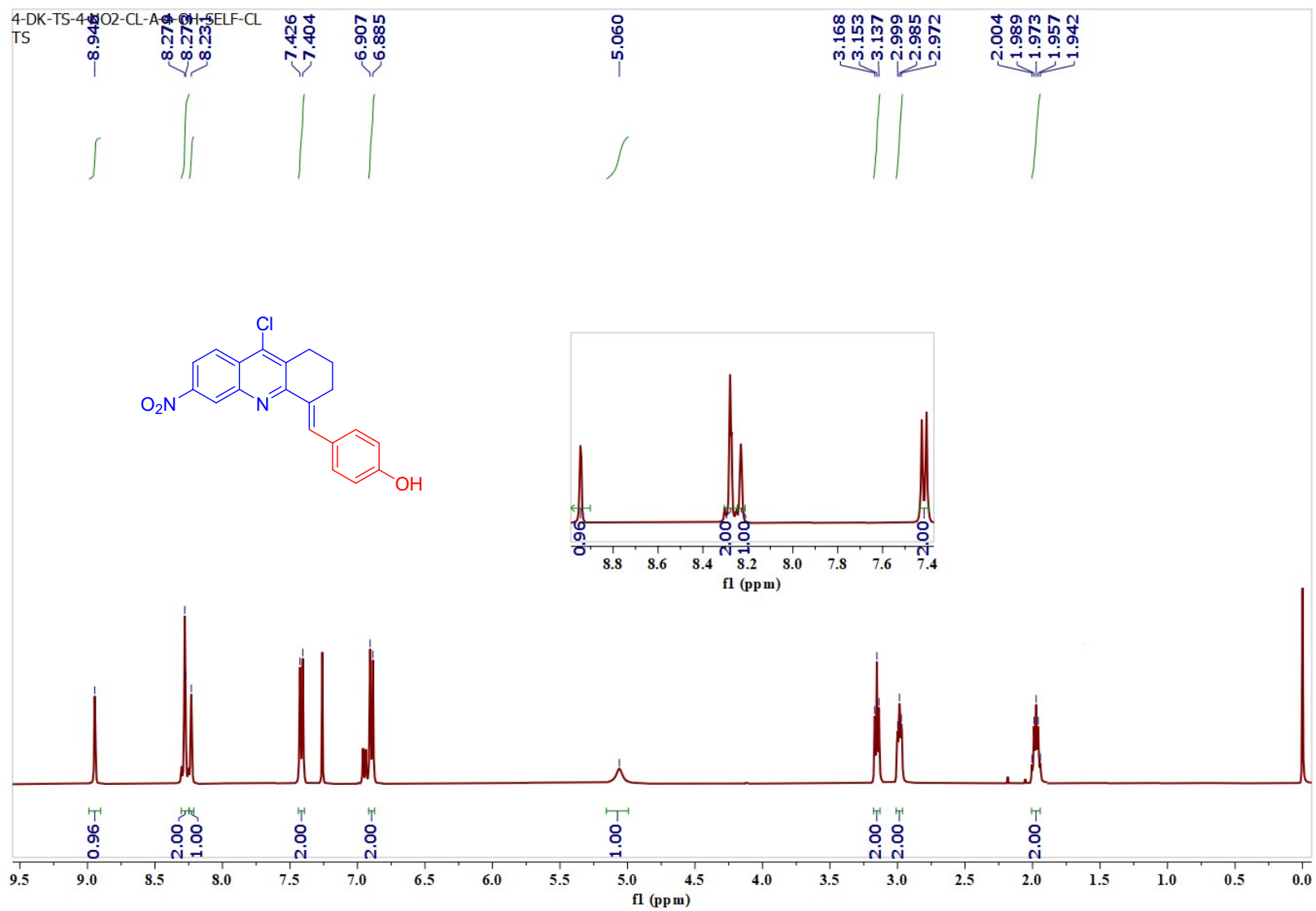
*(E)*-4-((9-Chloro-2,3-dihydroacridin-4(1H)-ylidene)methyl)phenol (*5k*):

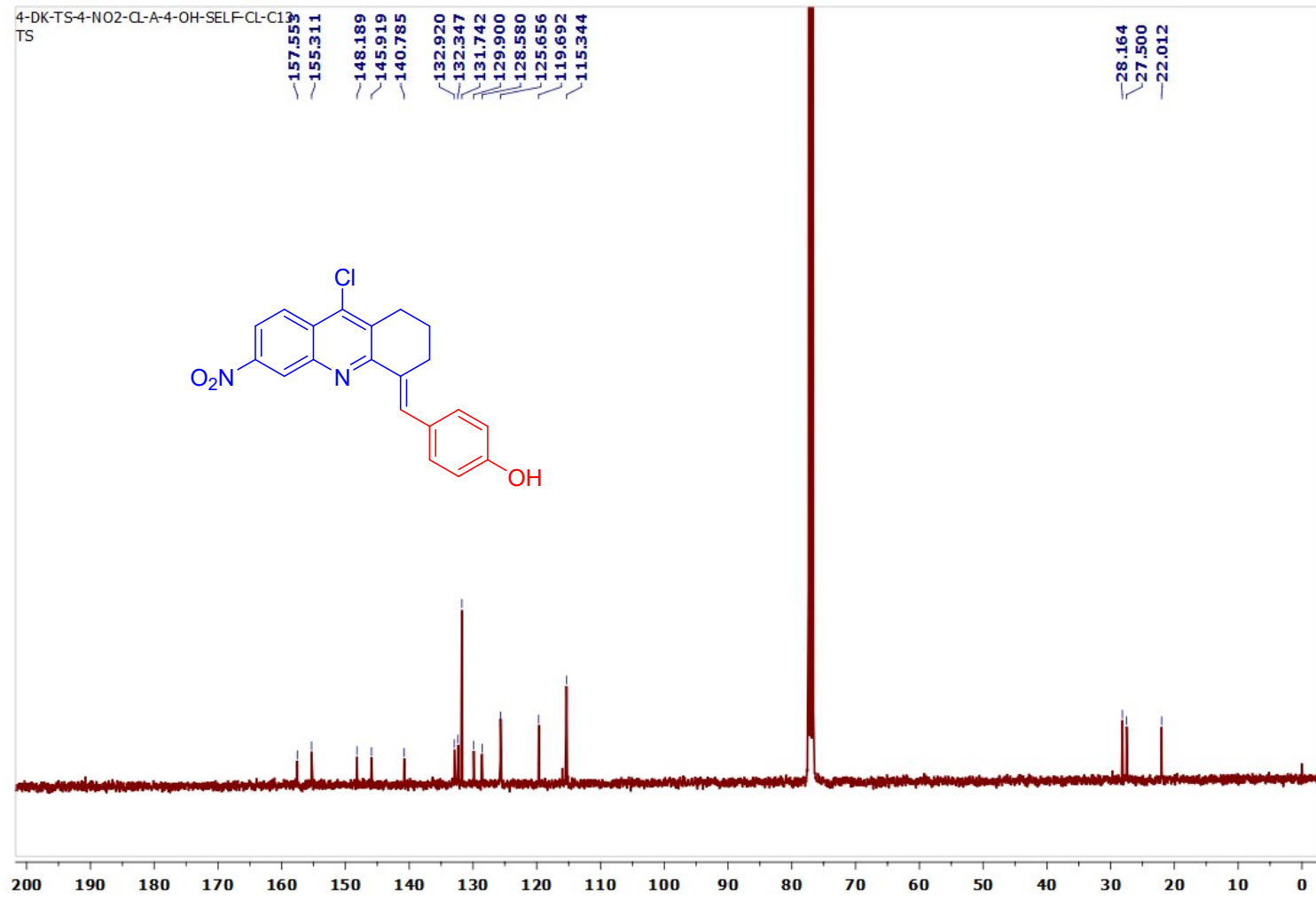


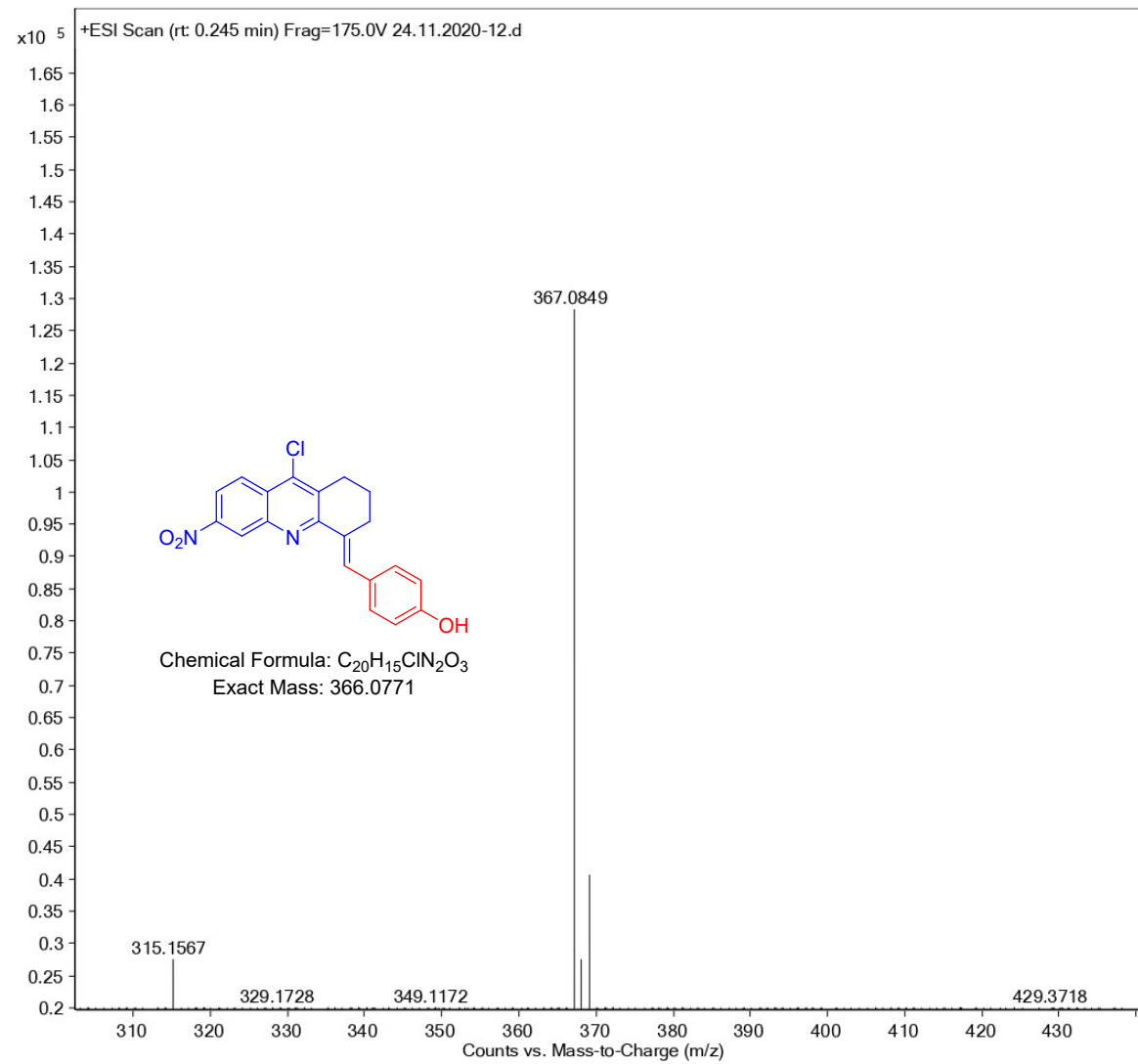




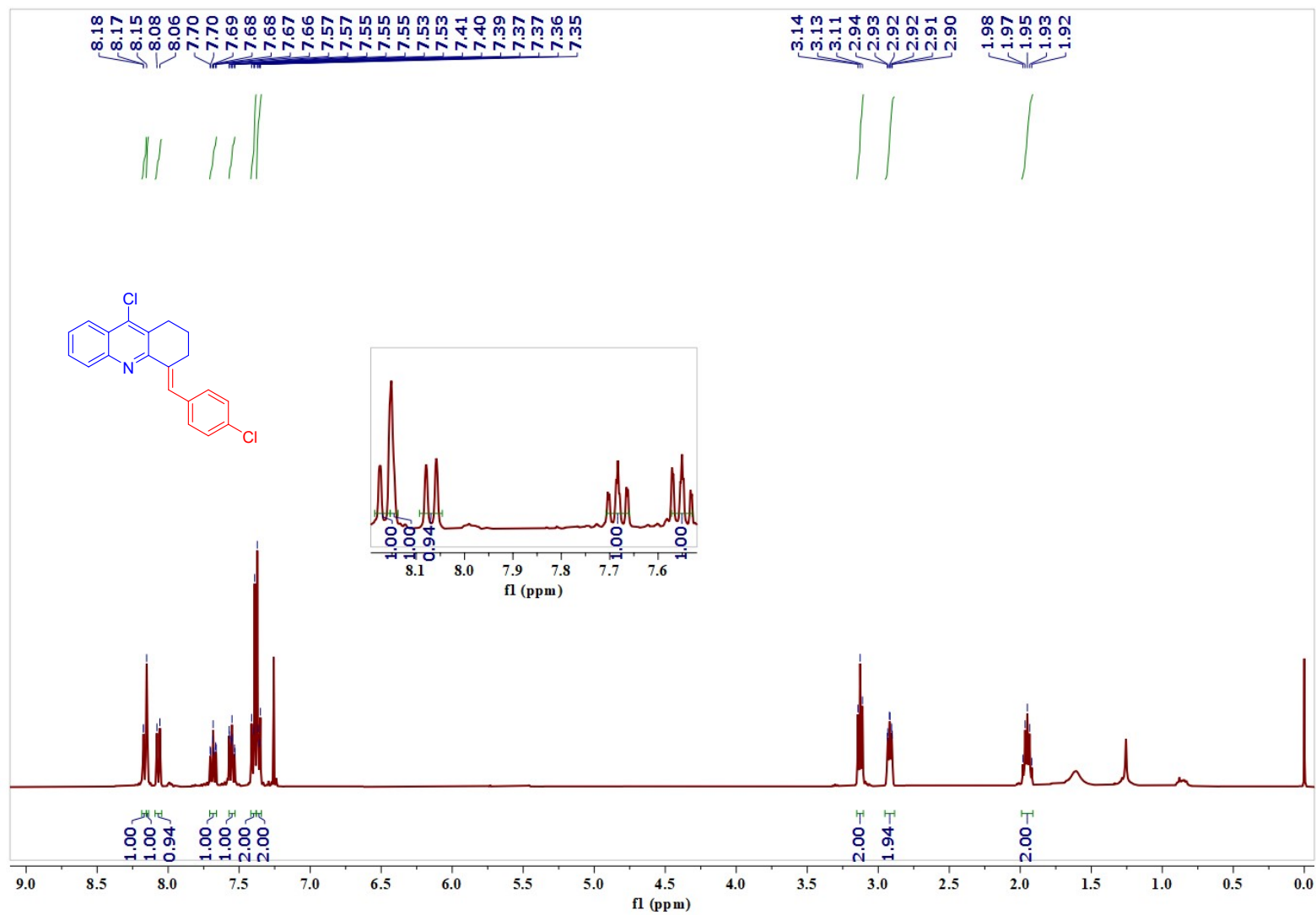
*(E)*-4-((9-Chloro-6-nitro-2,3-dihydroacridin-4(1H)-ylidene)methyl)phenol (5l):



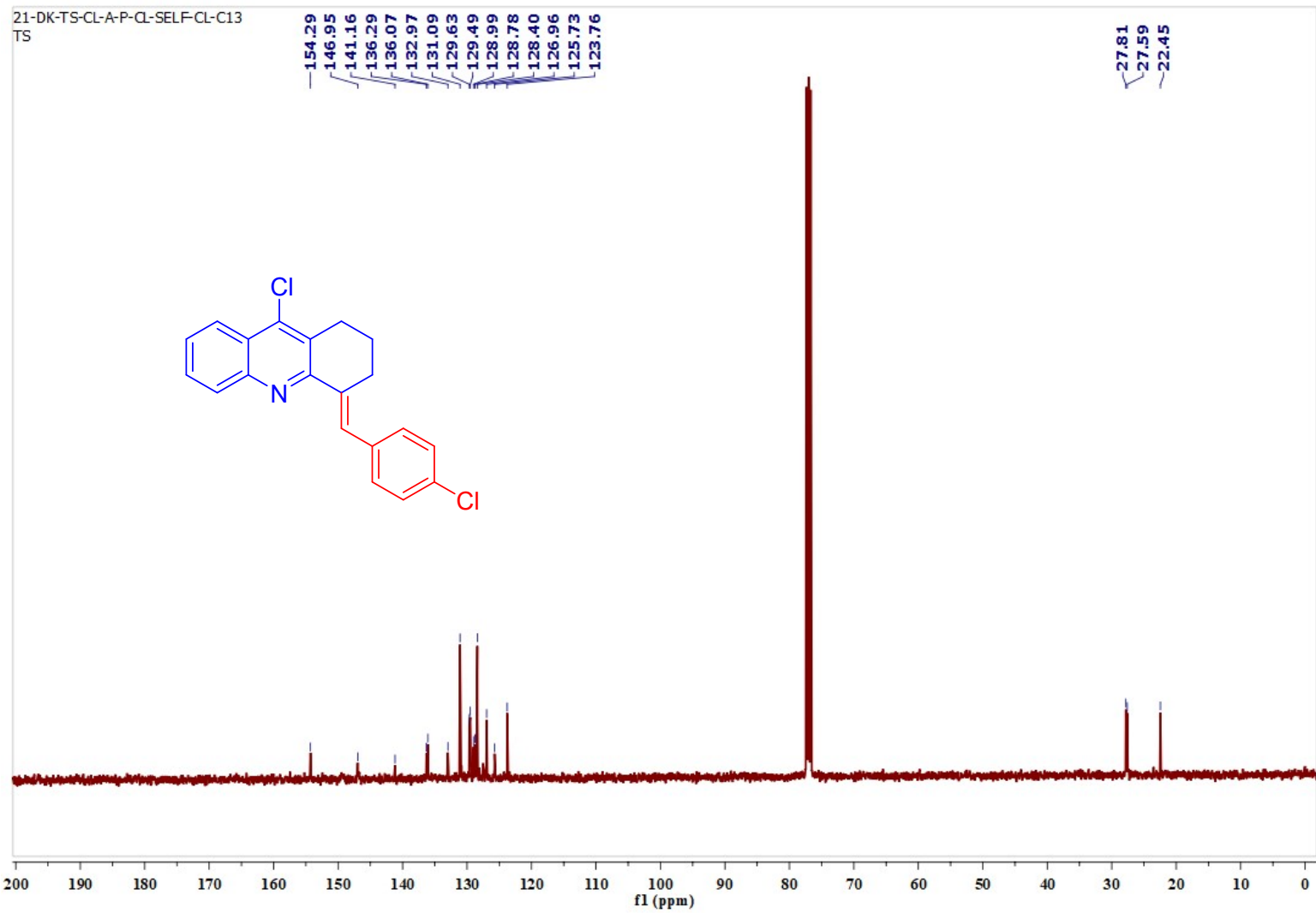


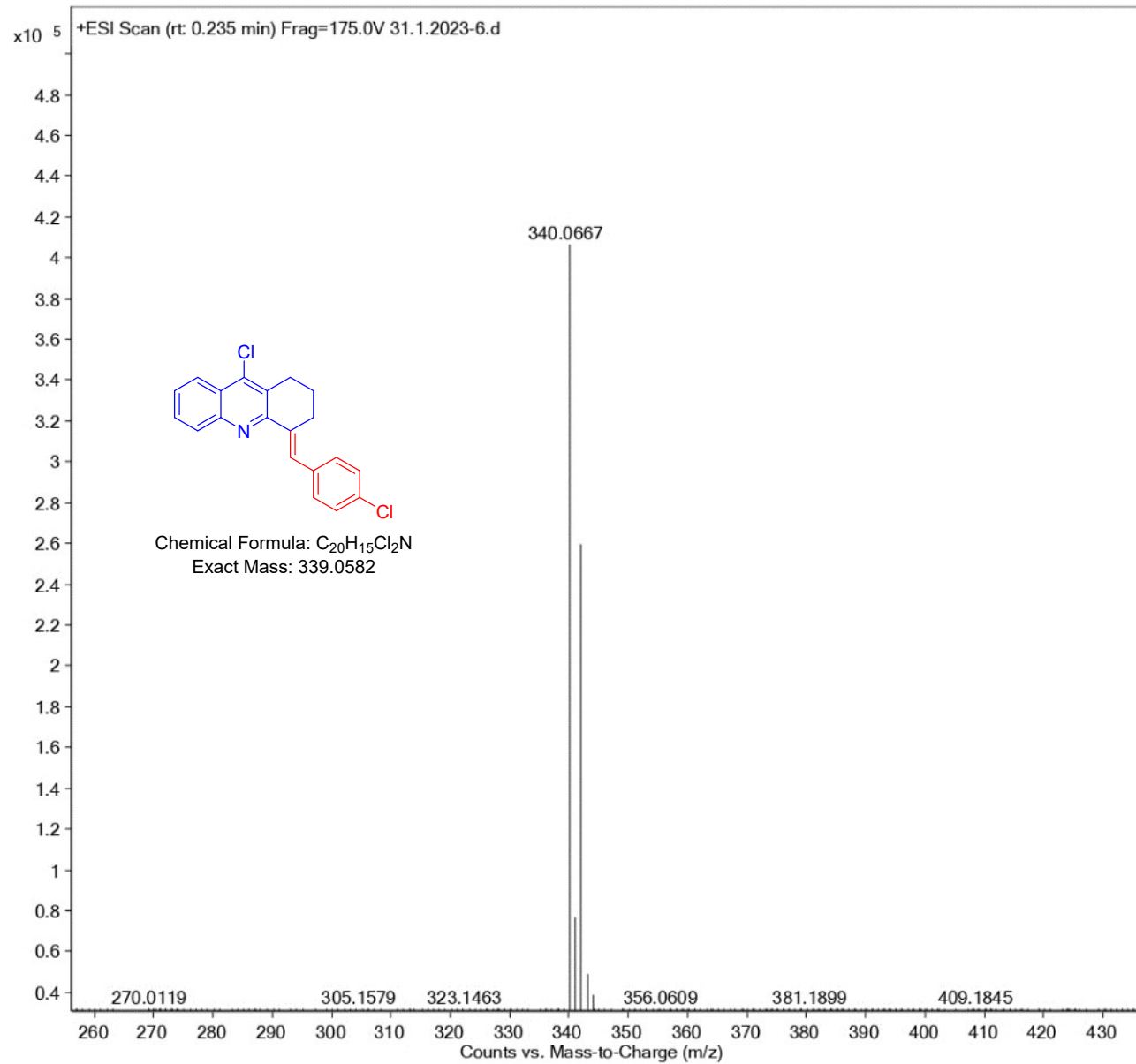


*(E)*-9-Chloro-4-(4-chlorobenzylidene)-1,2,3,4-tetrahydroacridine (5m):

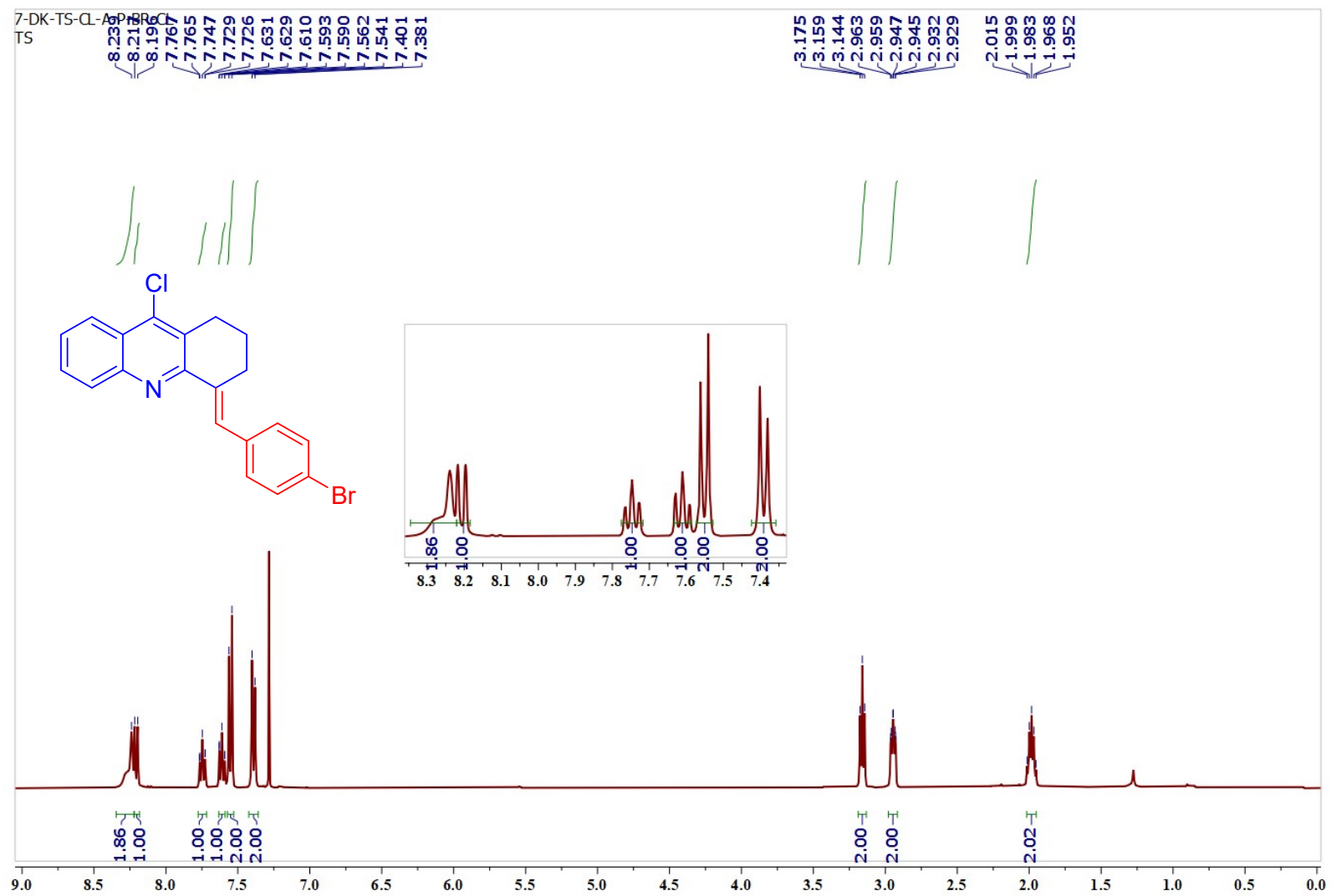


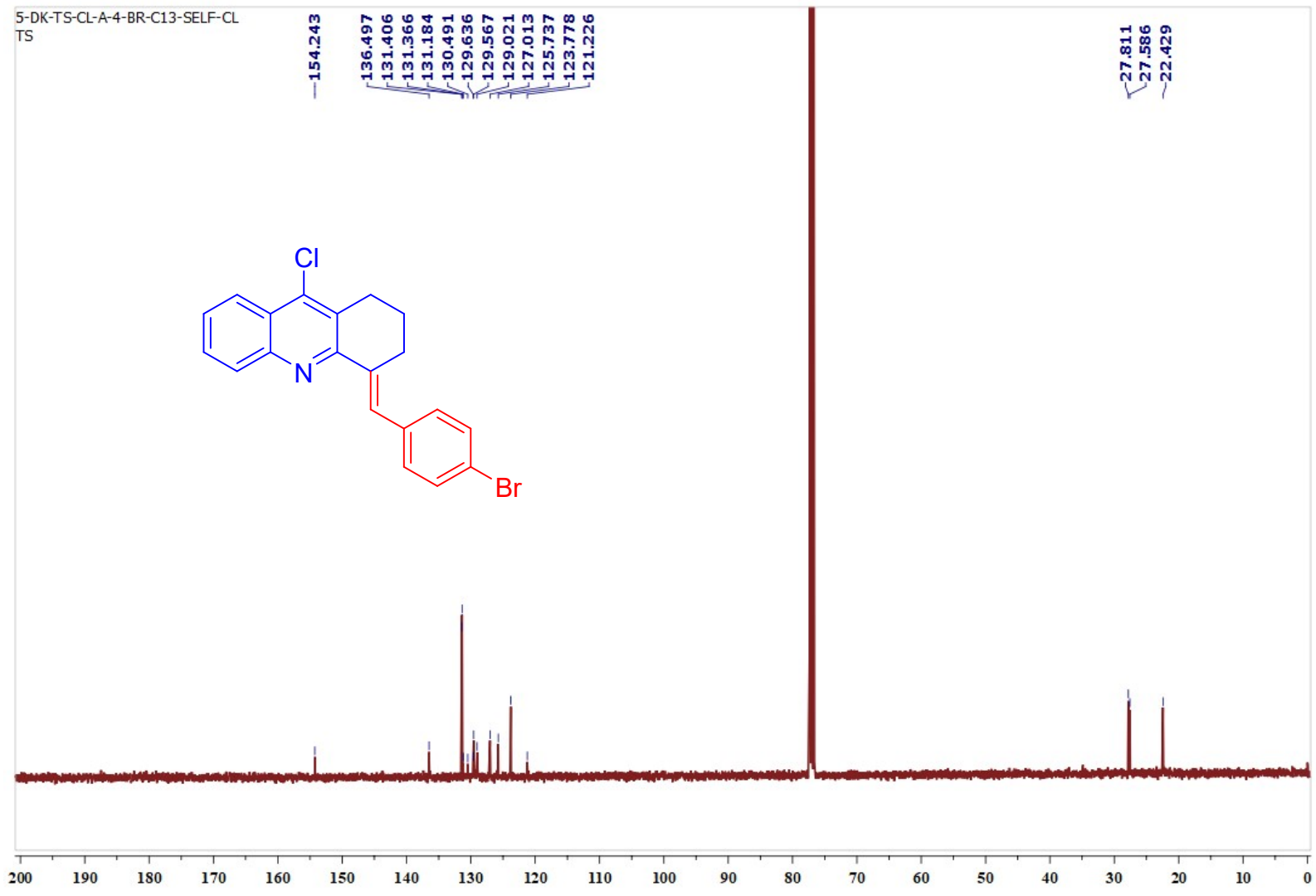


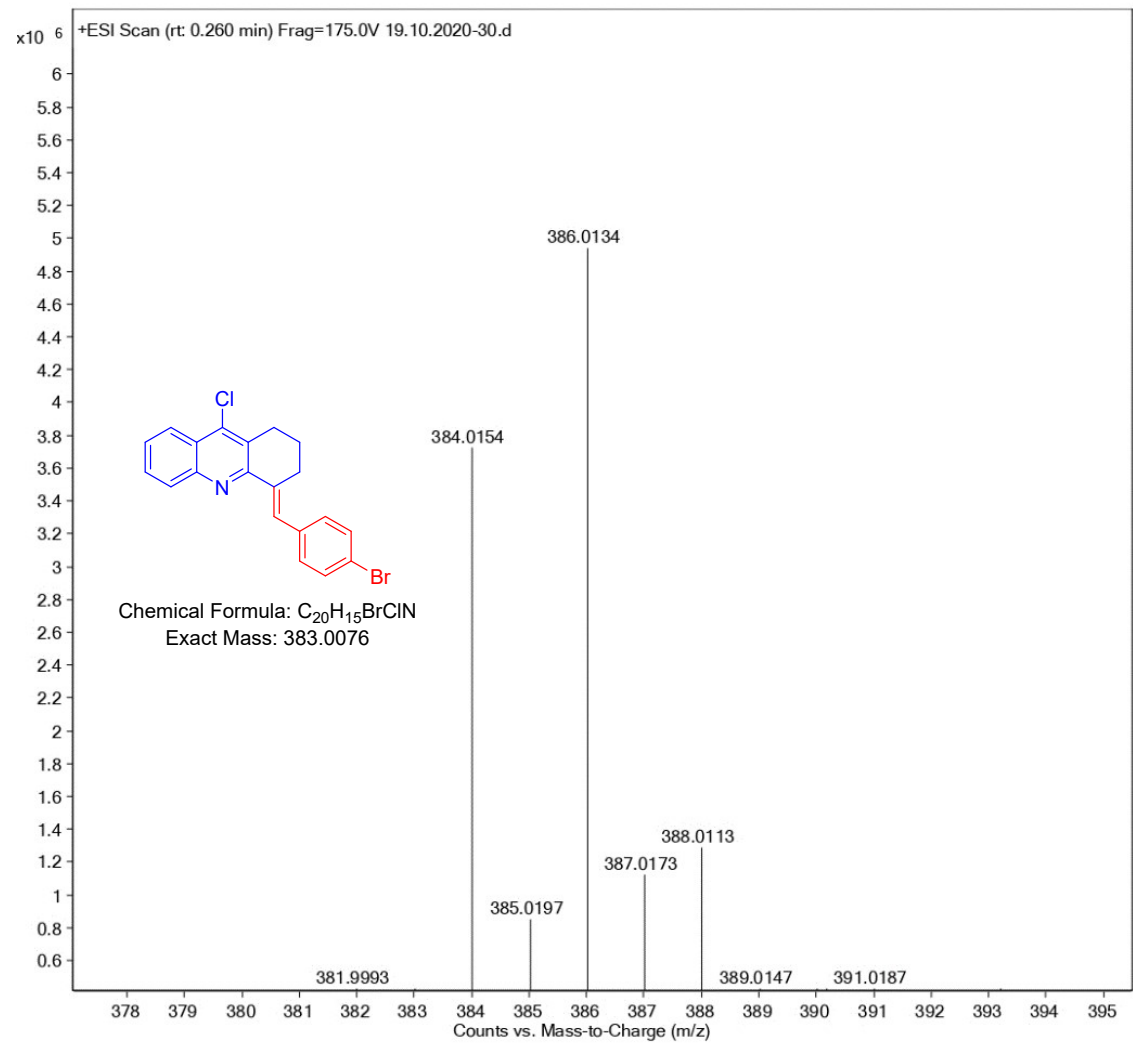




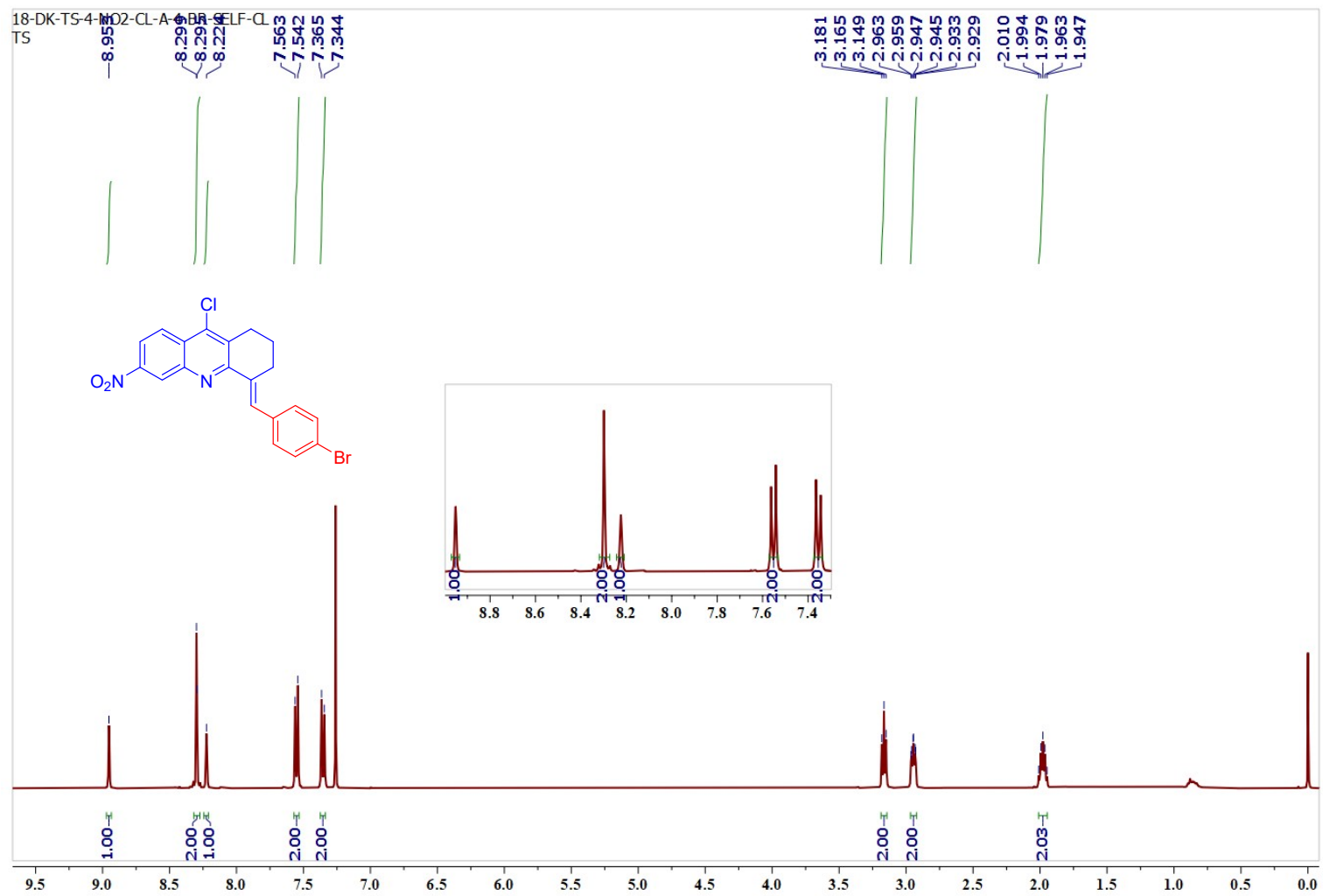
*(E)*-4-(4-Bromobenzylidene)-9-chloro-1,2,3,4-tetrahydroacridine (5n):

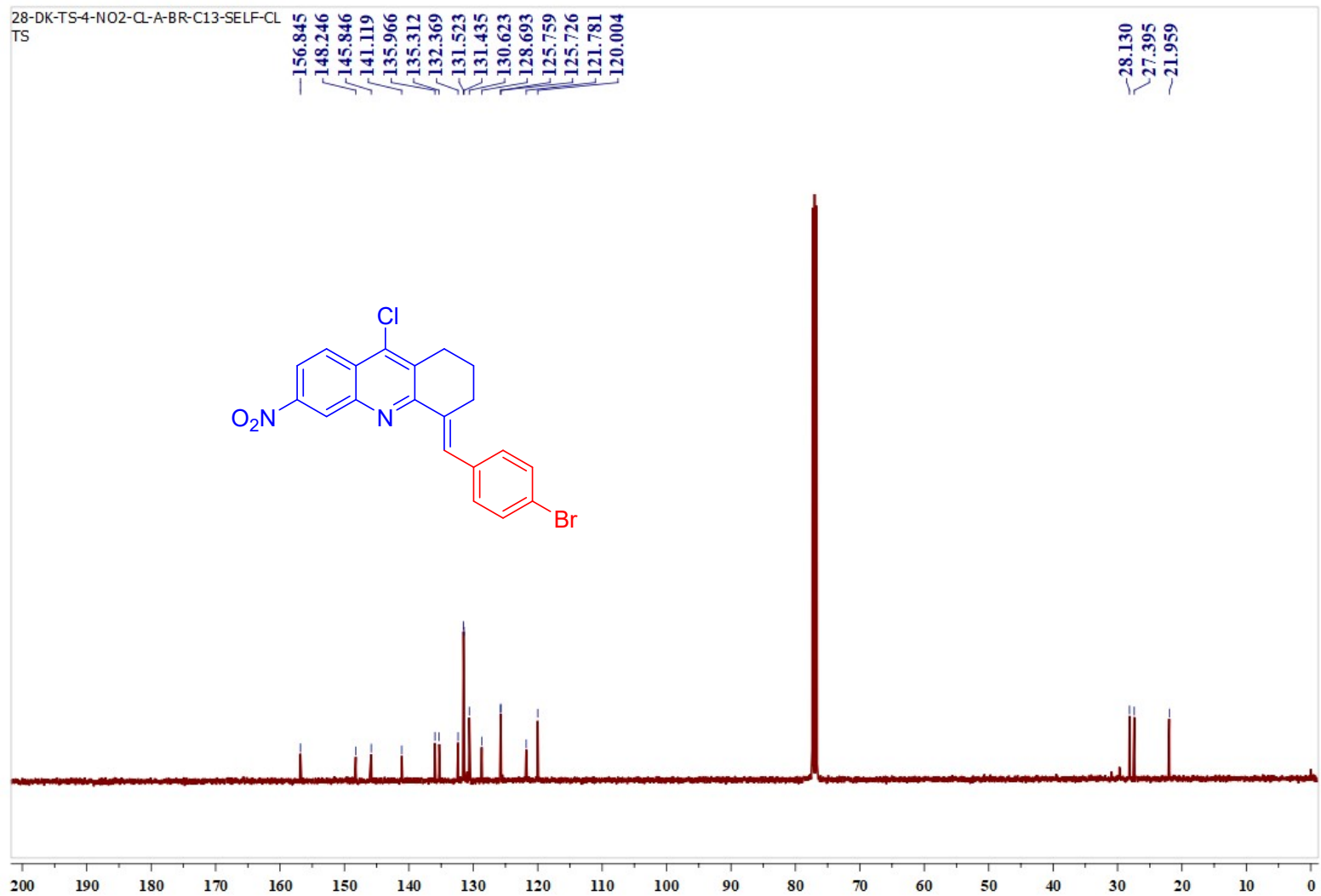


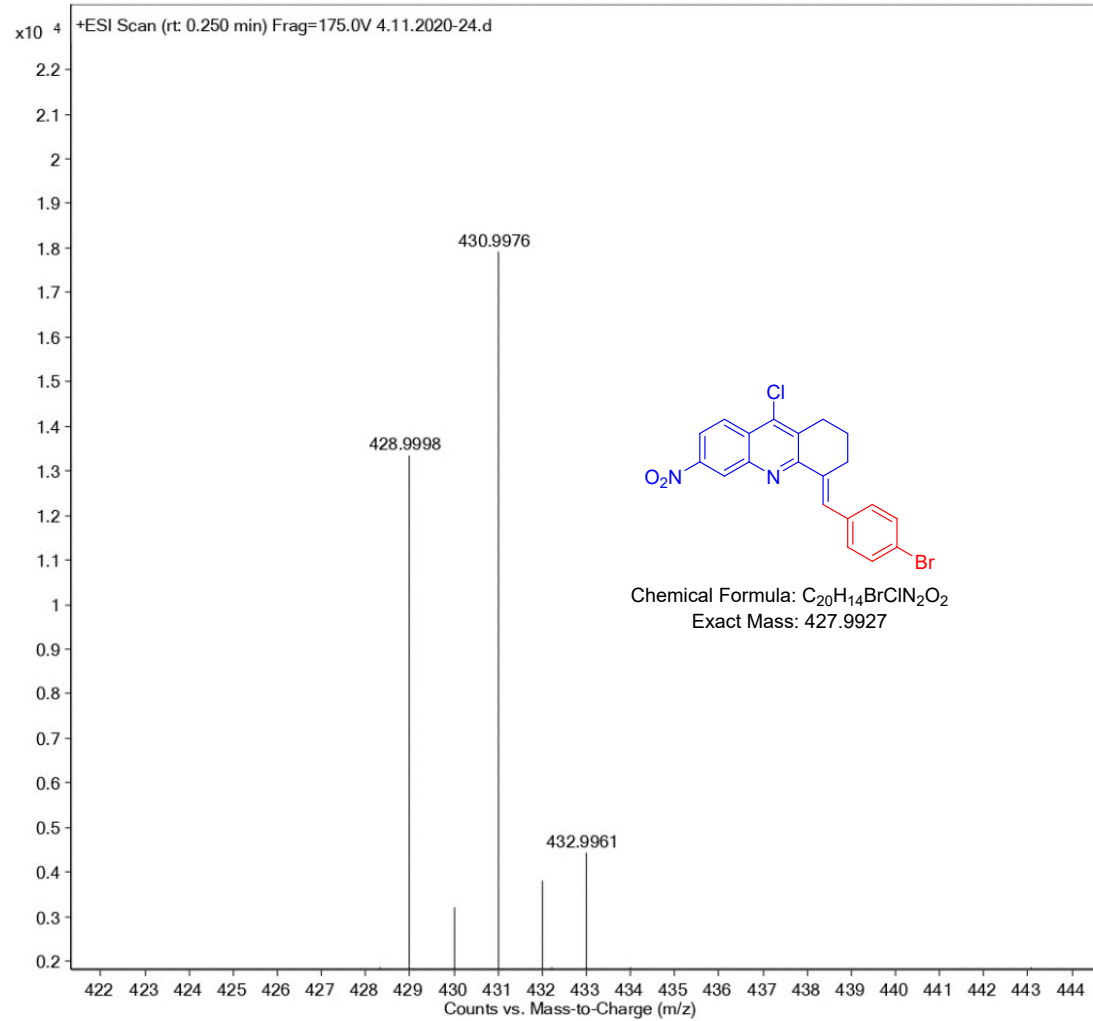




*(E)*-4-(4-Bromobenzylidene)-9-chloro-6-nitro-1,2,3,4-tetrahydroacridine (5o):

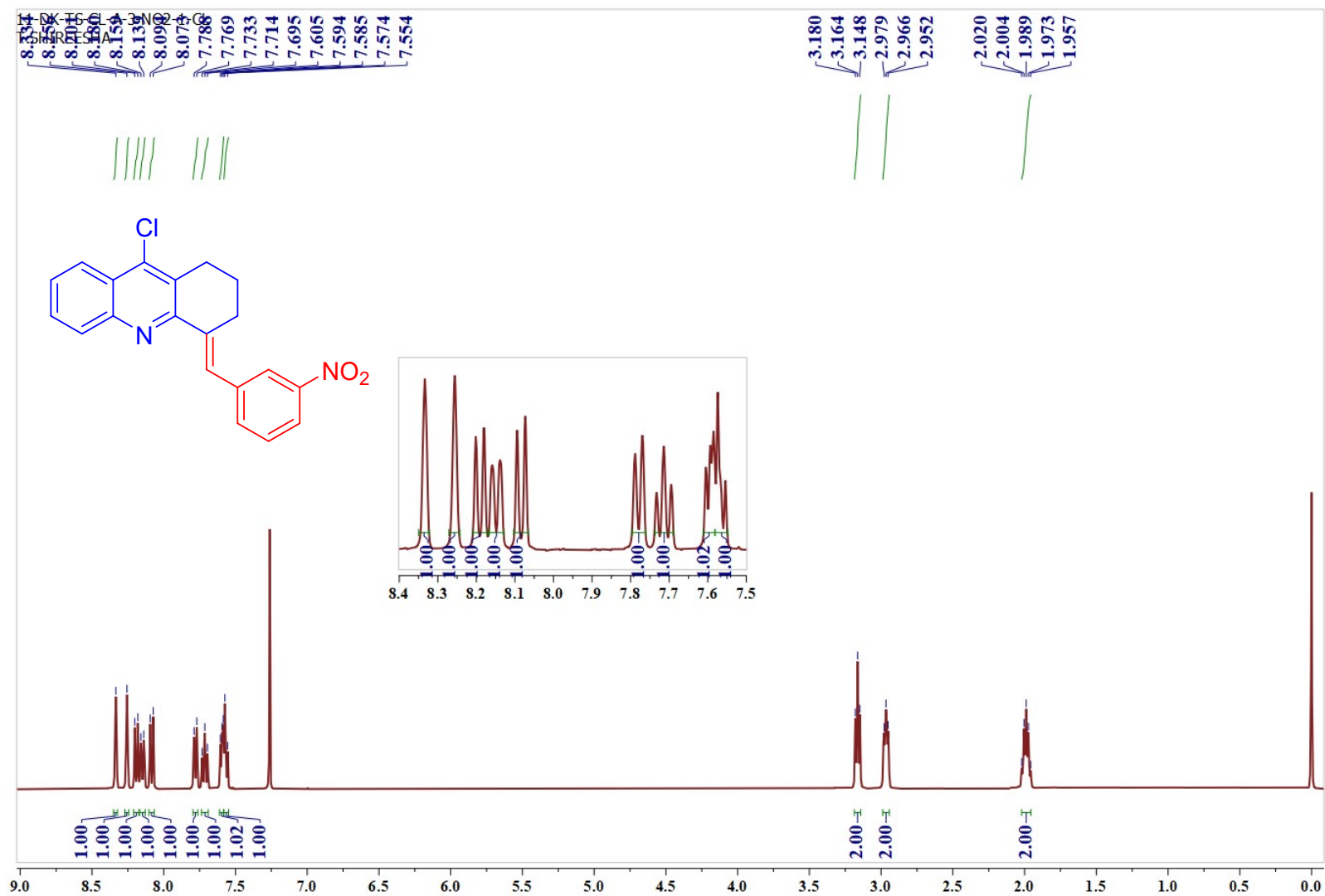


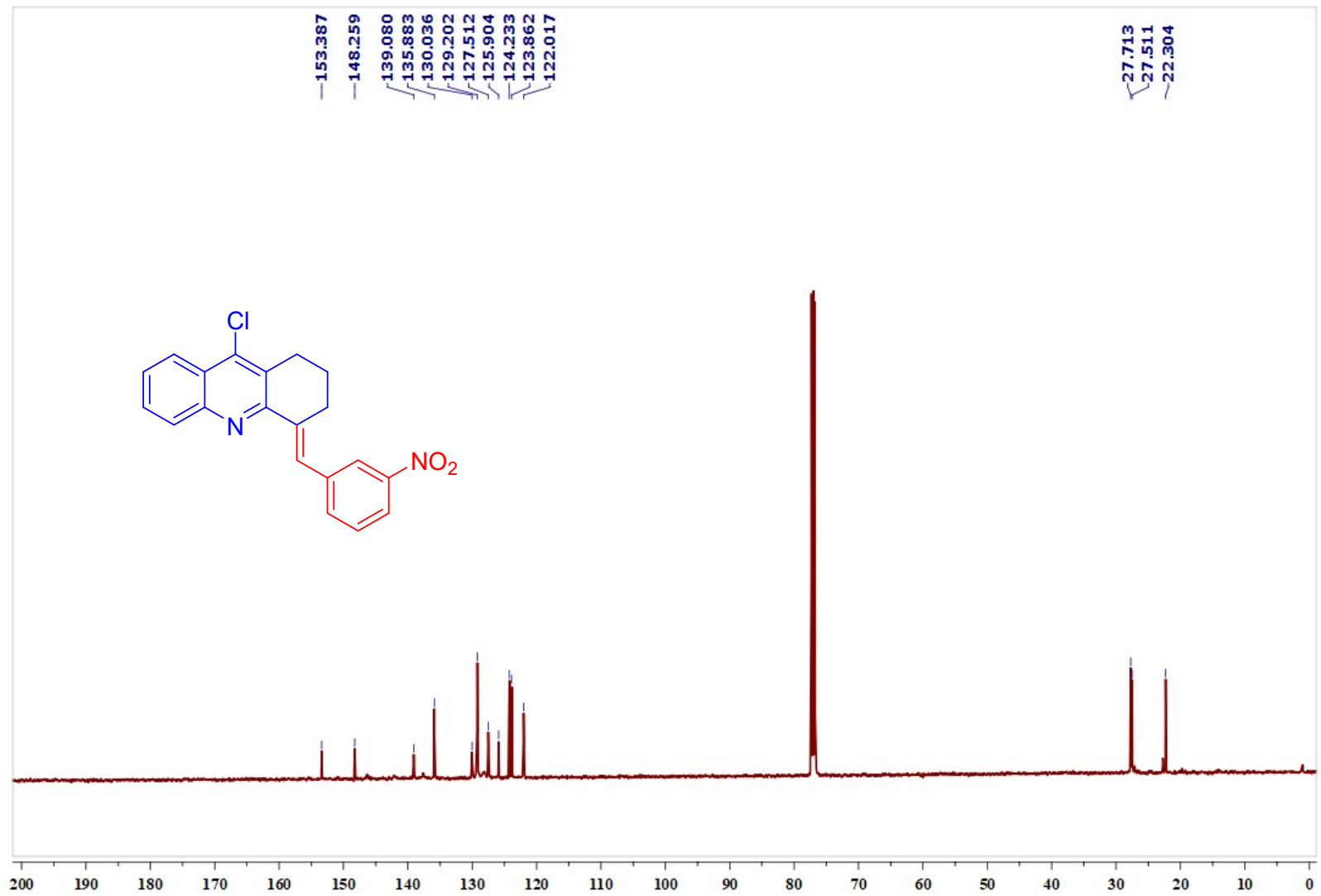


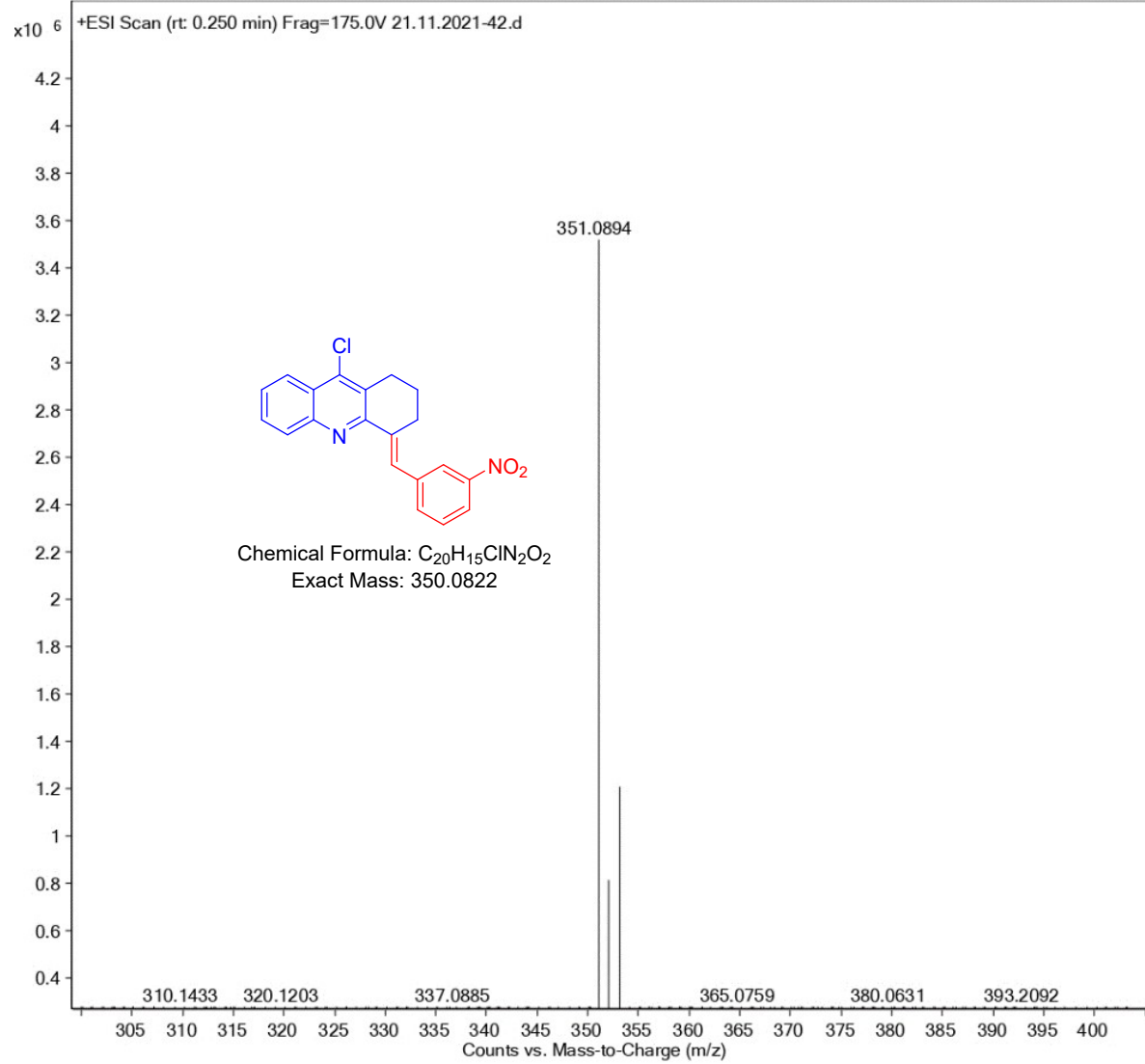




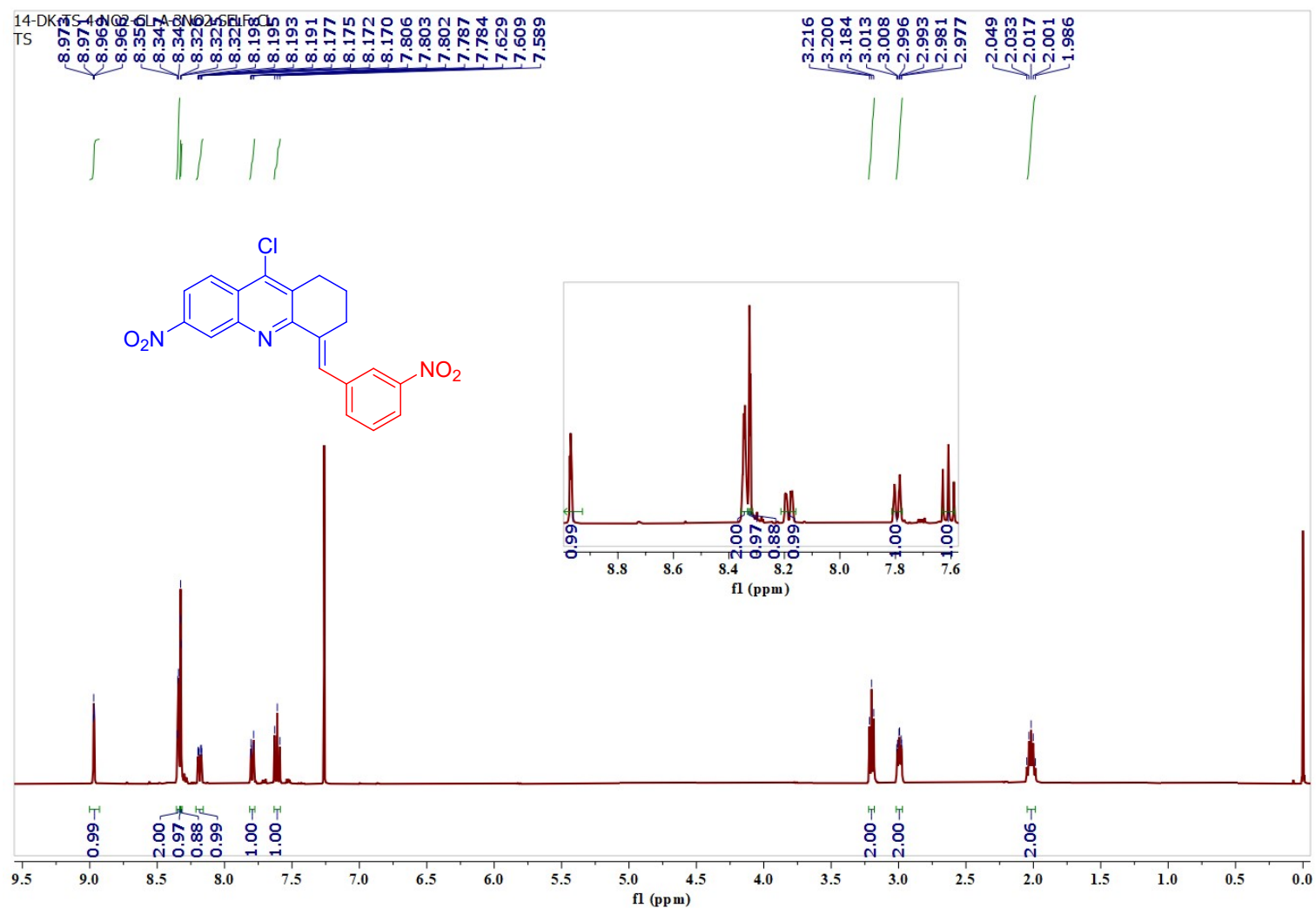
*(E)*-9-Chloro-4-(3-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5p):

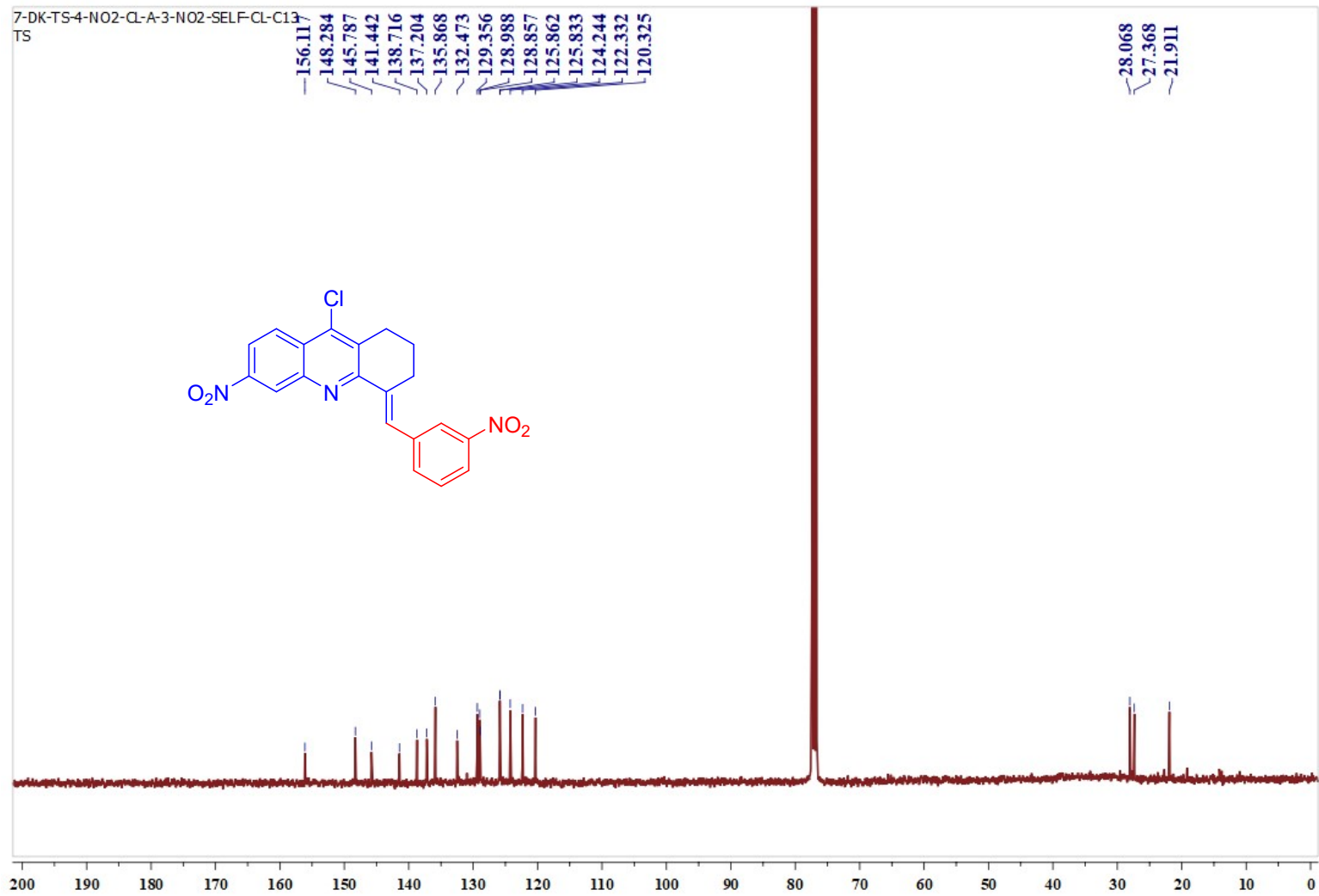


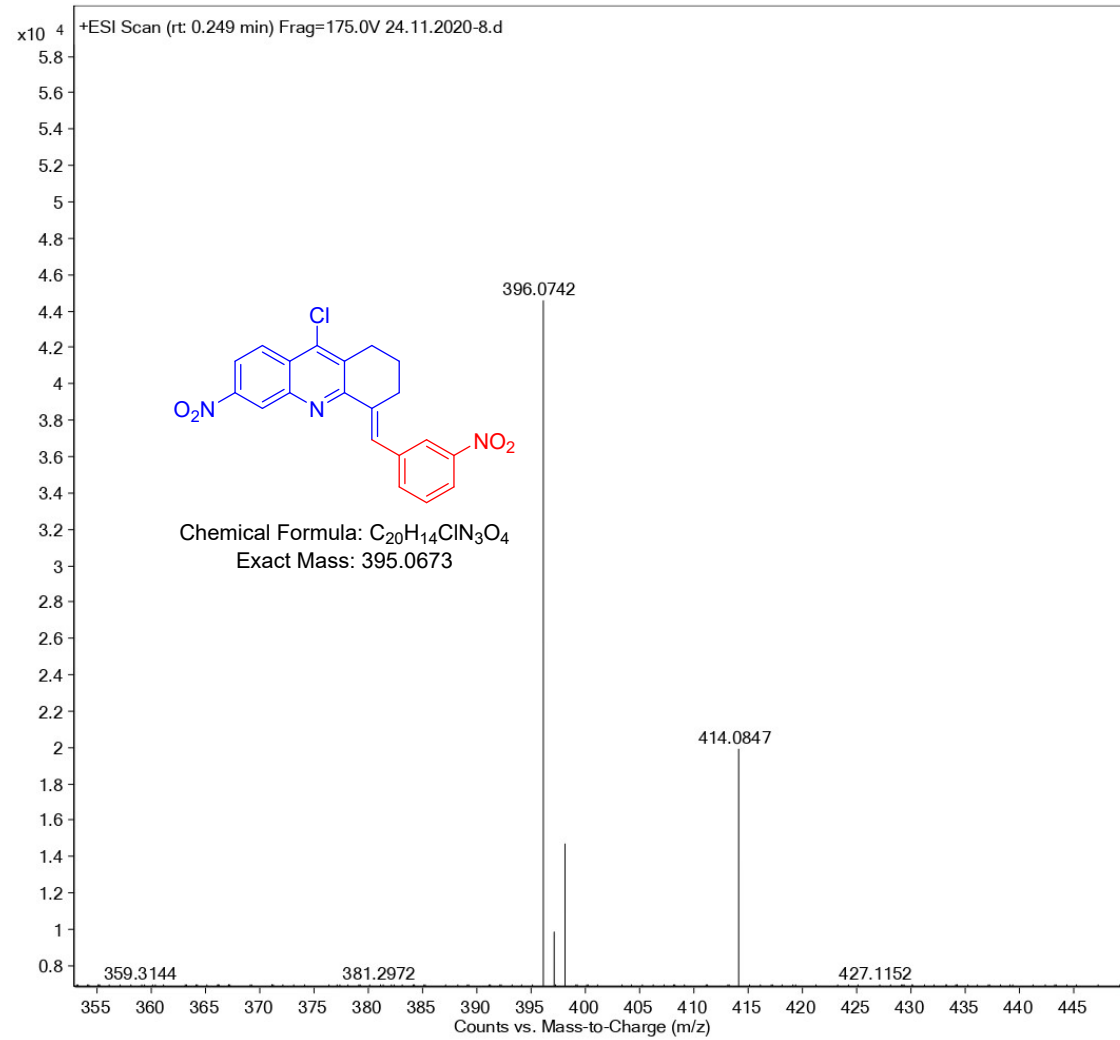




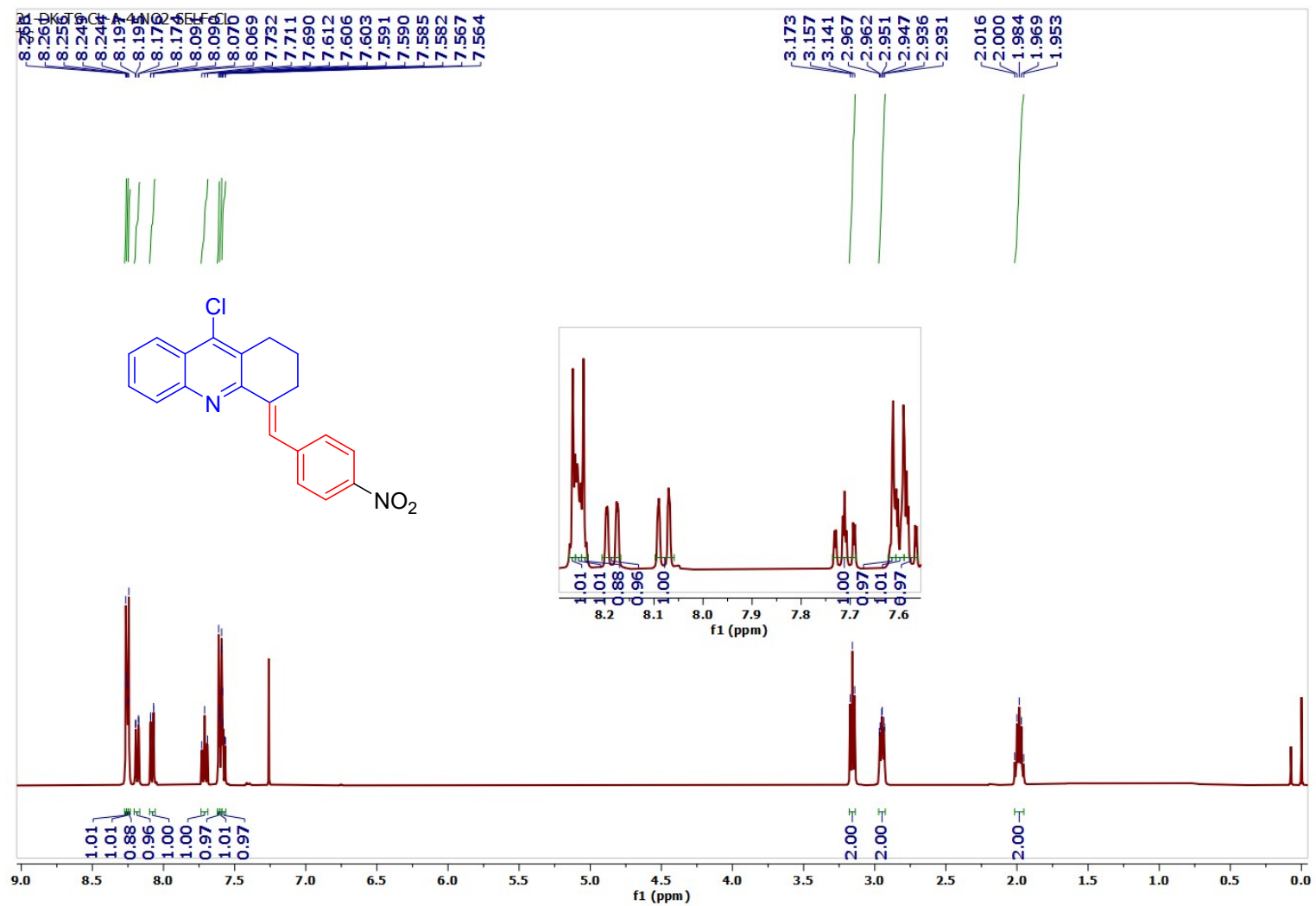
*(E)*-9-Chloro-6-nitro-4-(3-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5q):

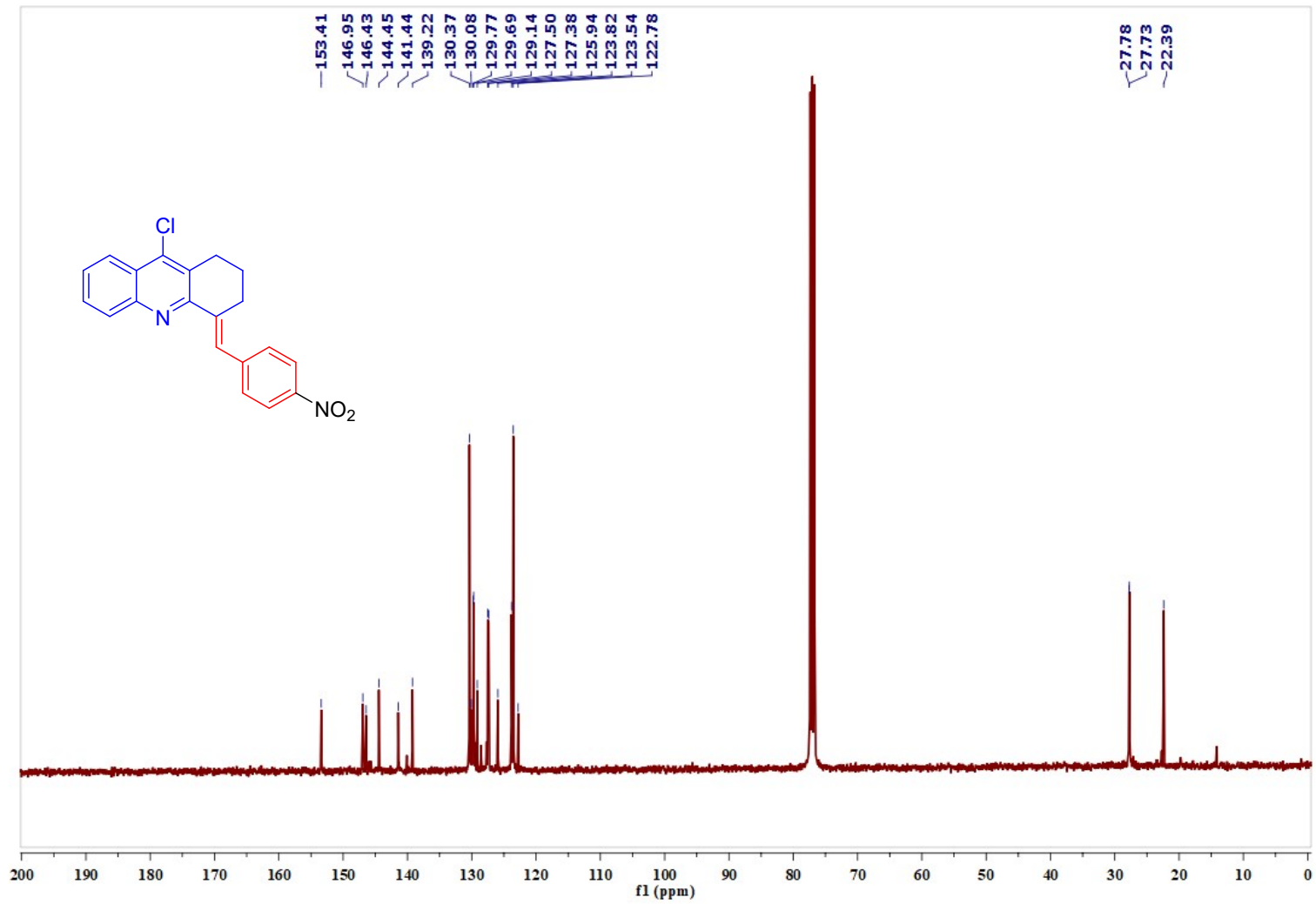




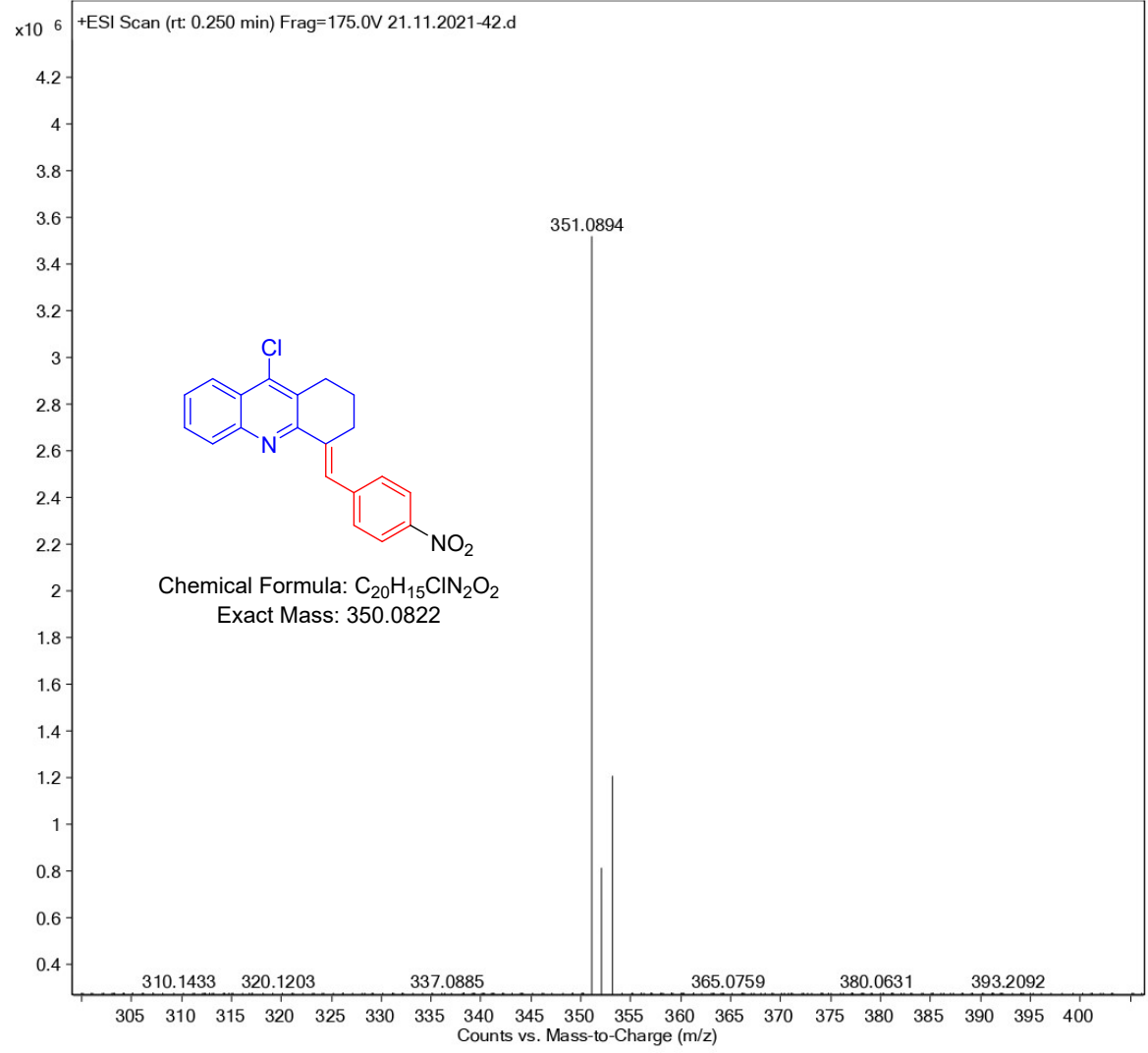


*(E)*-9-Chloro-4-(4-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5r):

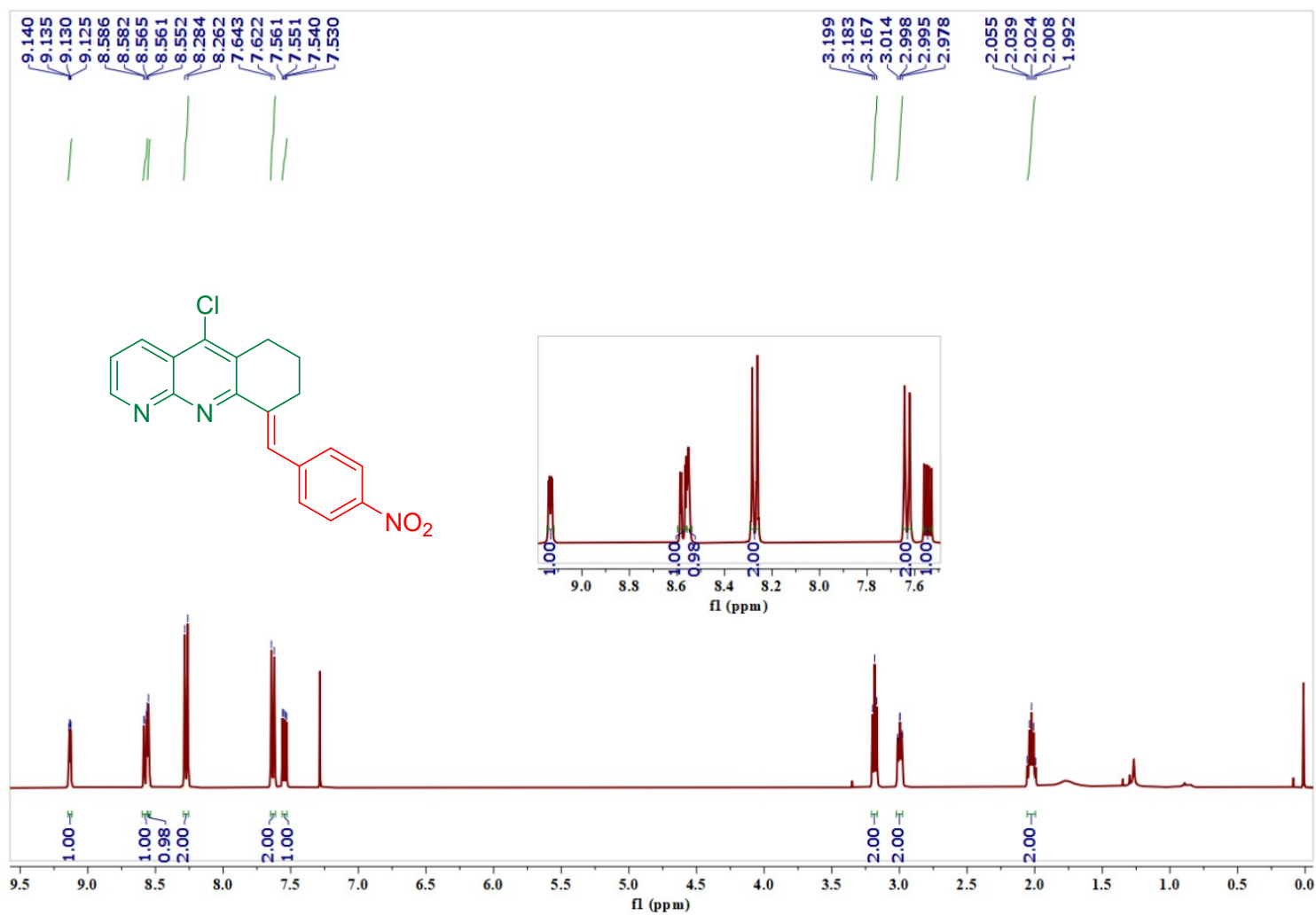


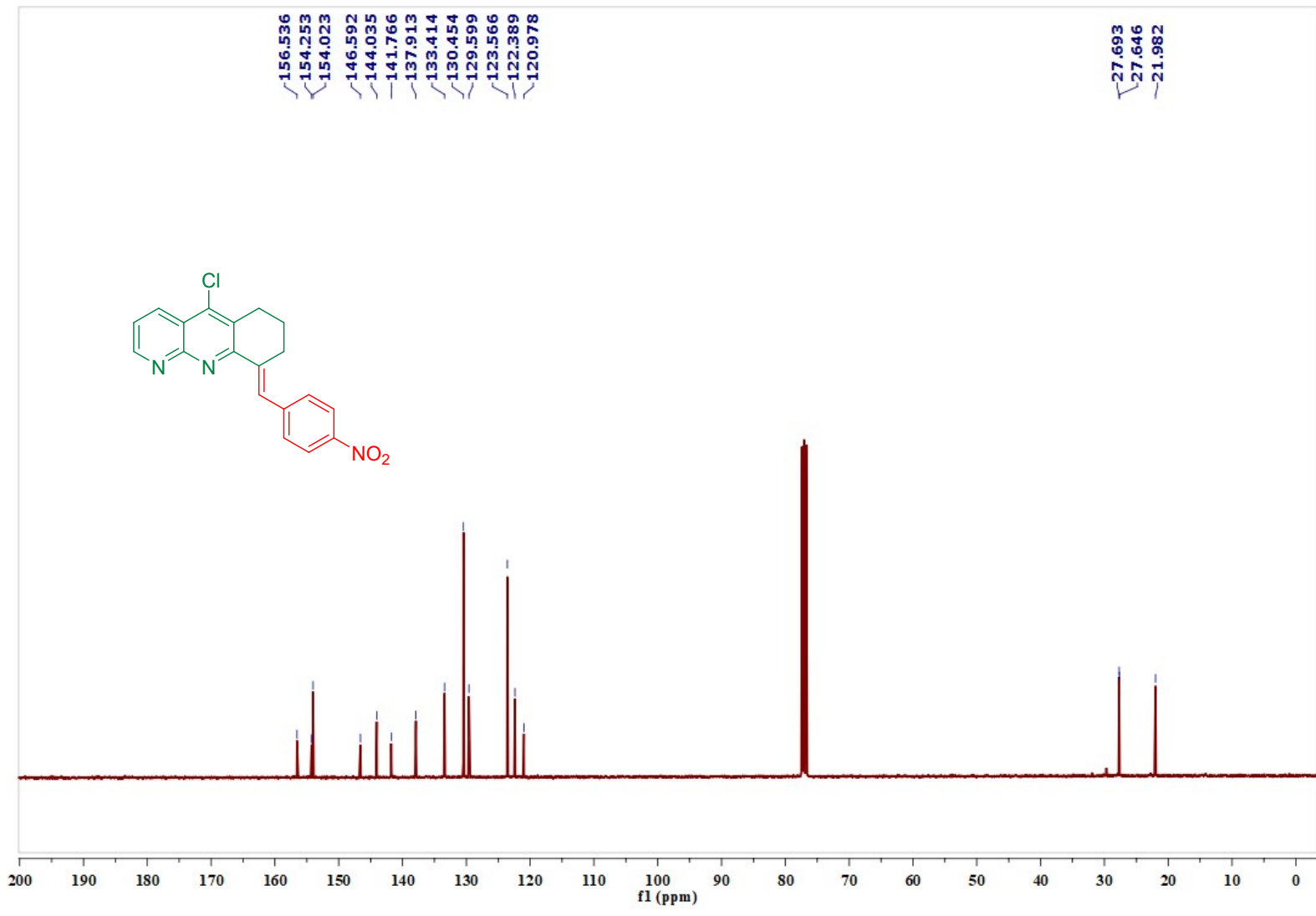


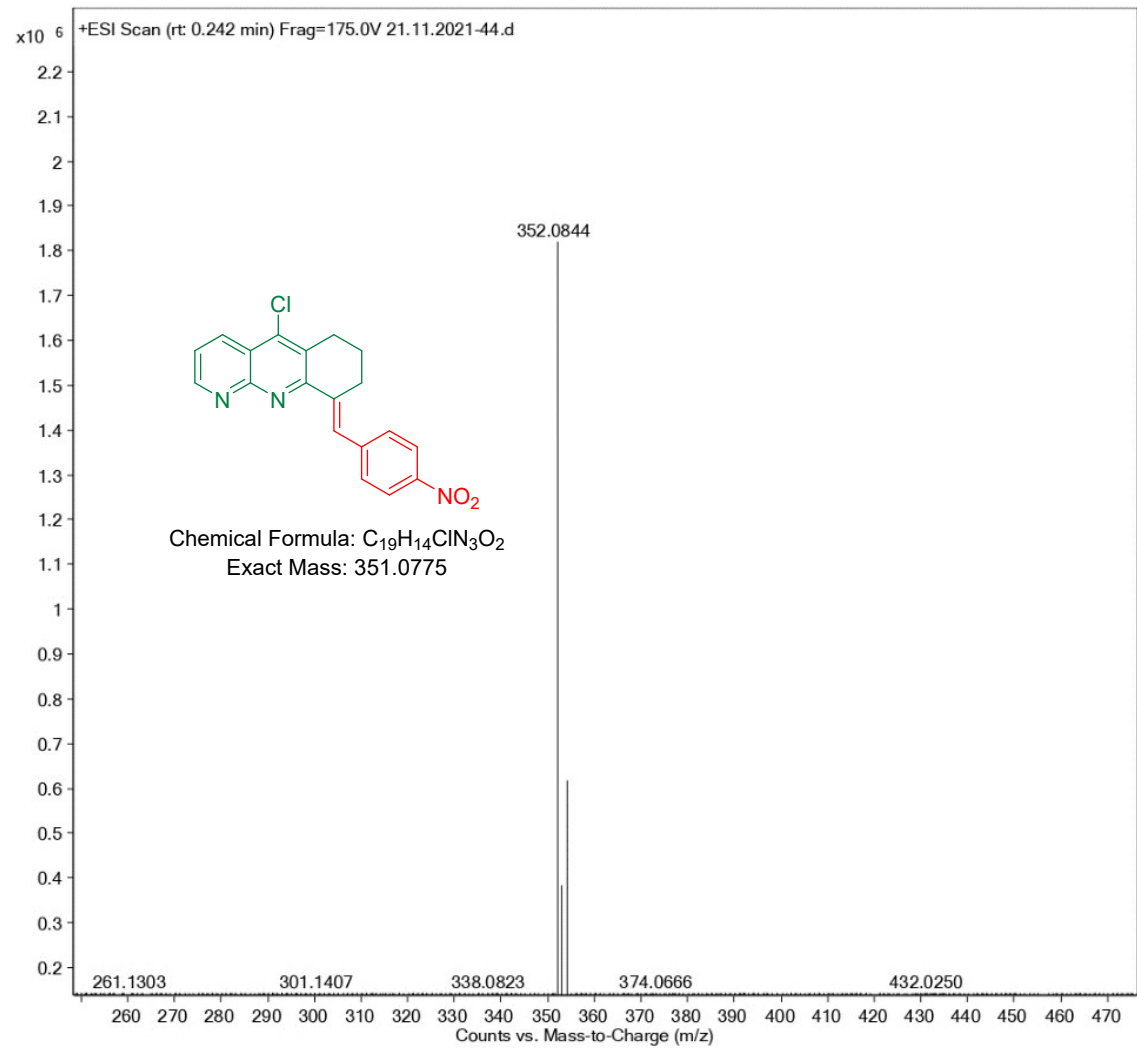




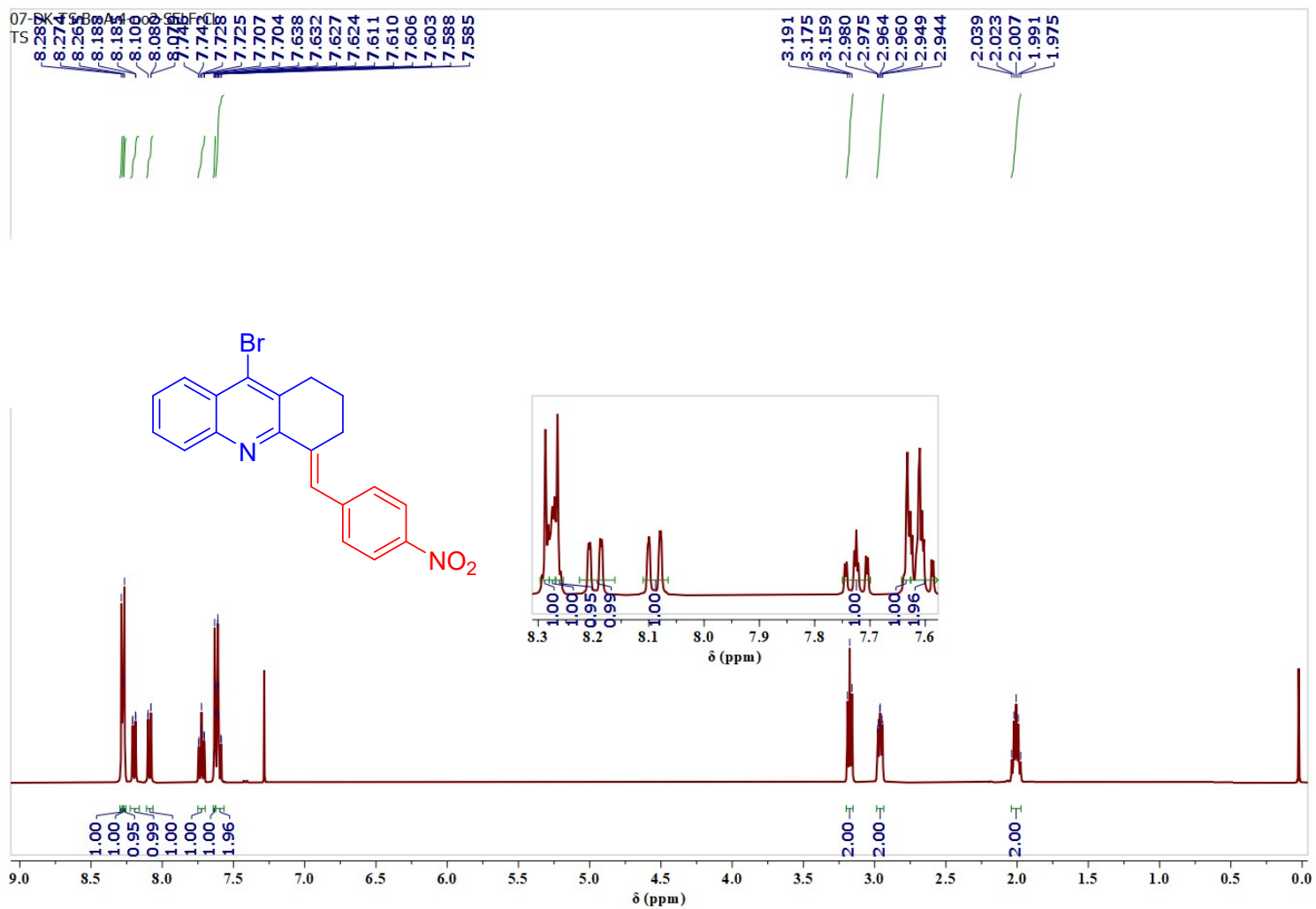
*(E)*-5-Chloro-9-(4-nitrobenzylidene)-6,7,8,9-tetrahydrobenzo[b][1,8]naphthyridine (5s):

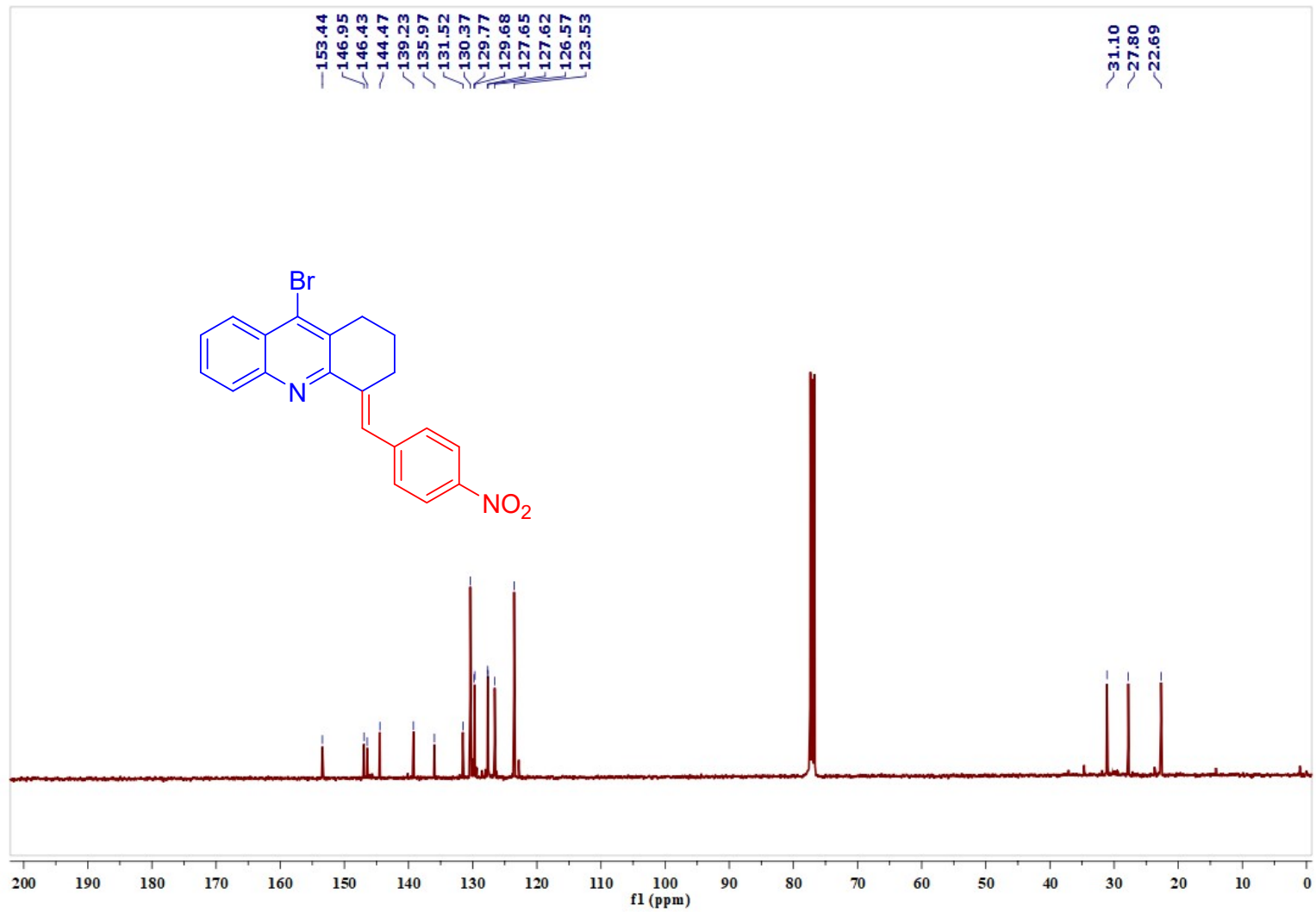


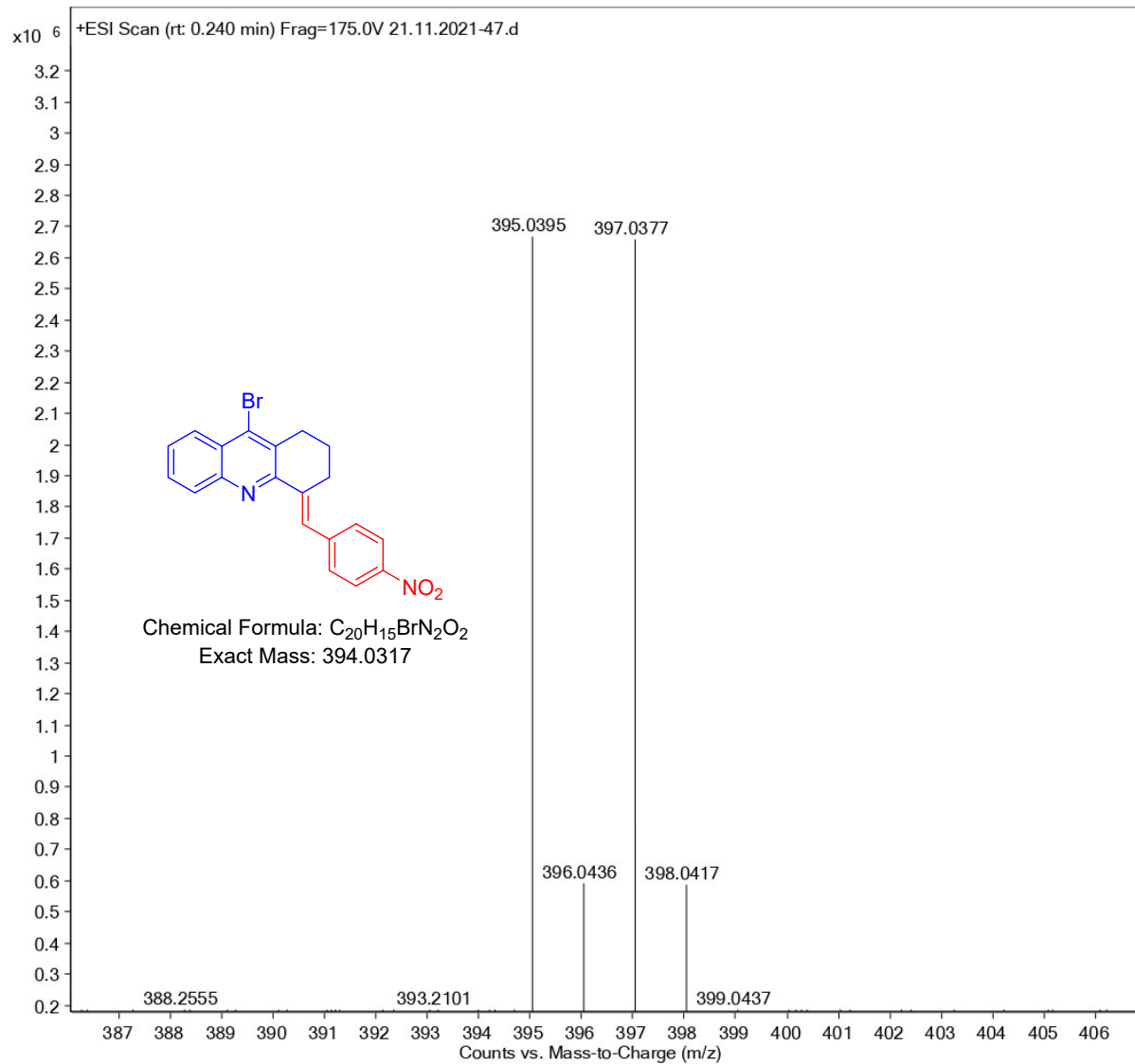




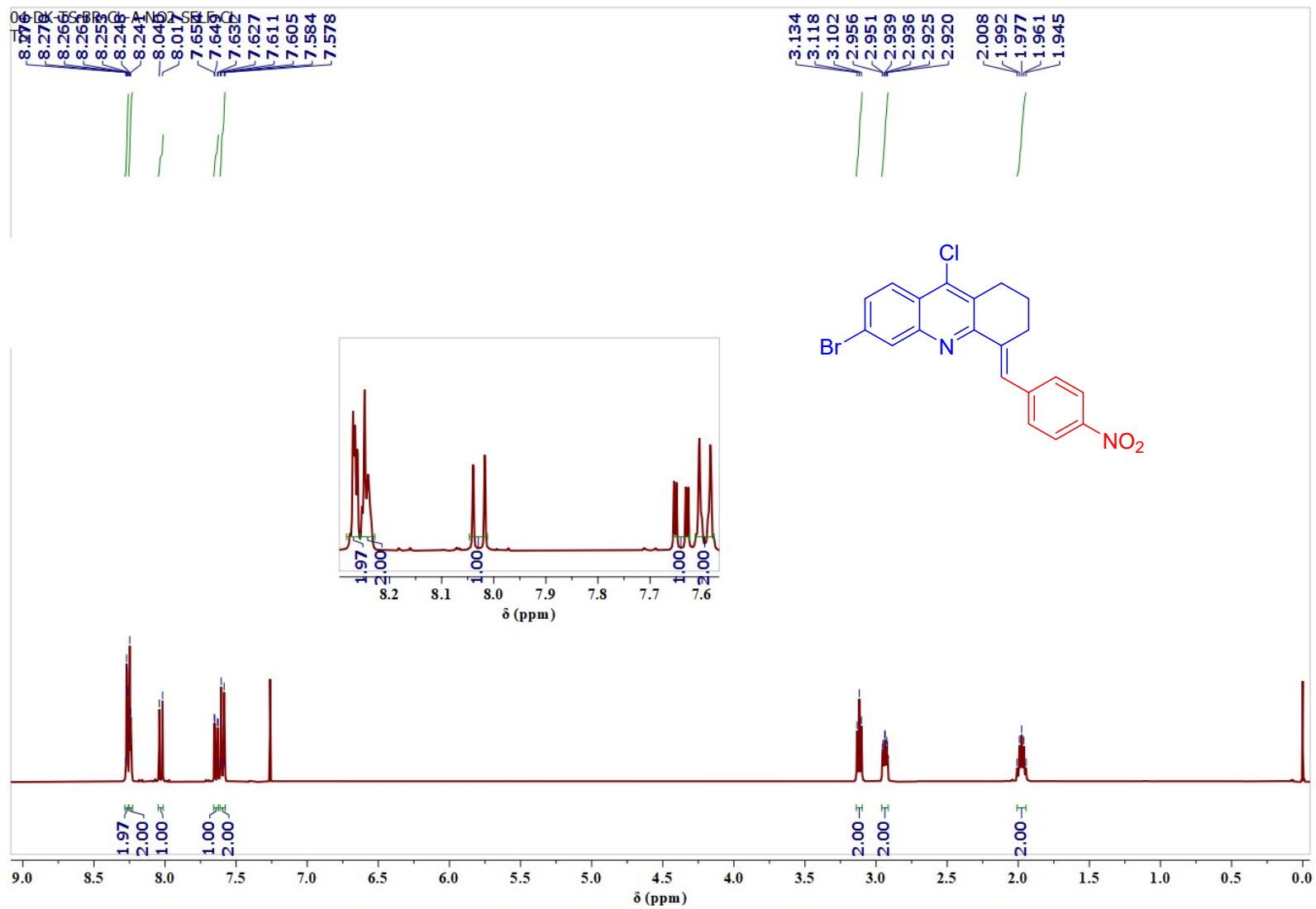
*(E)*-9-Bromo-4-(4-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5t):



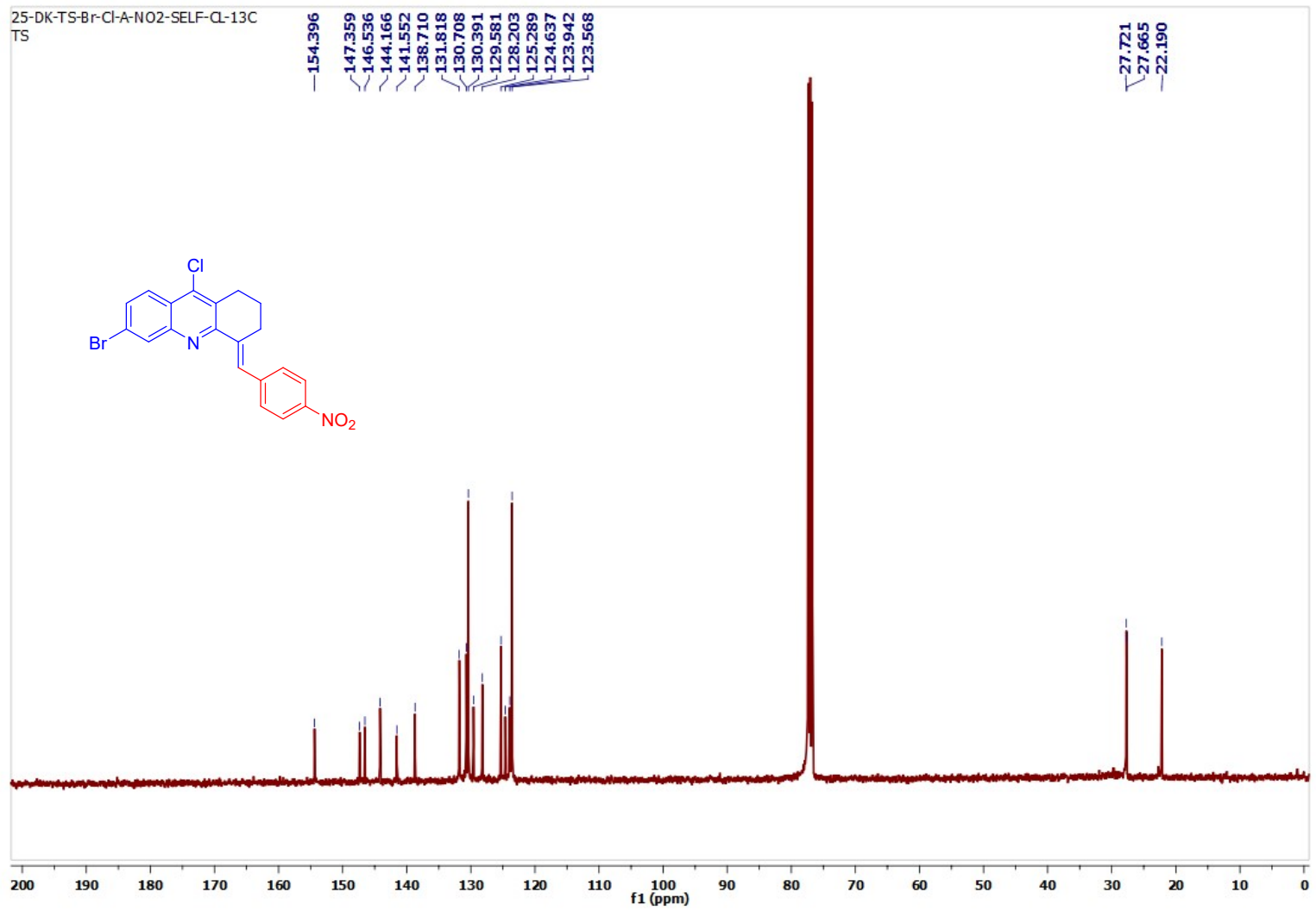


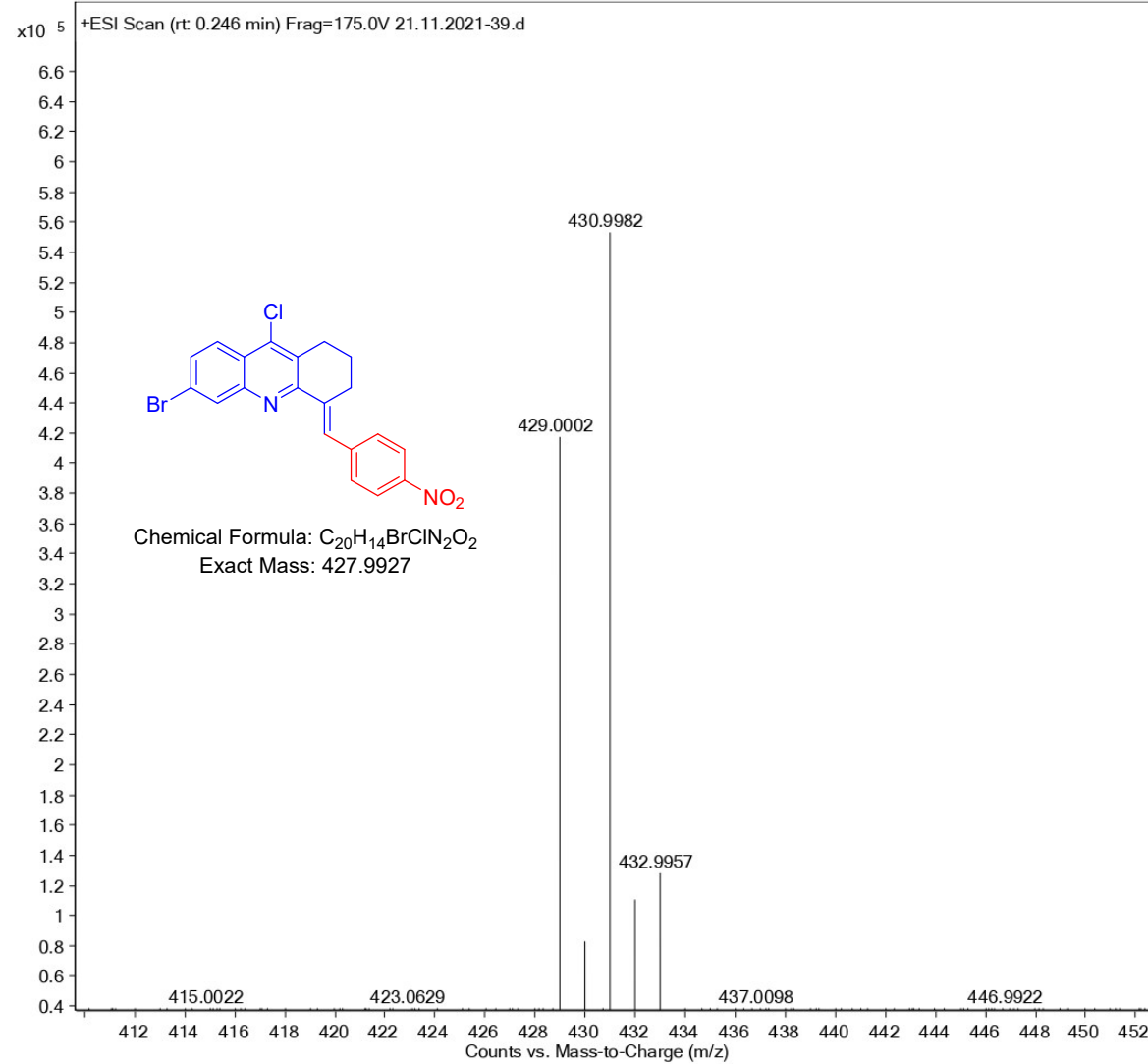


*(E)*-6-Bromo-9-chloro-4-(4-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (**5u**):

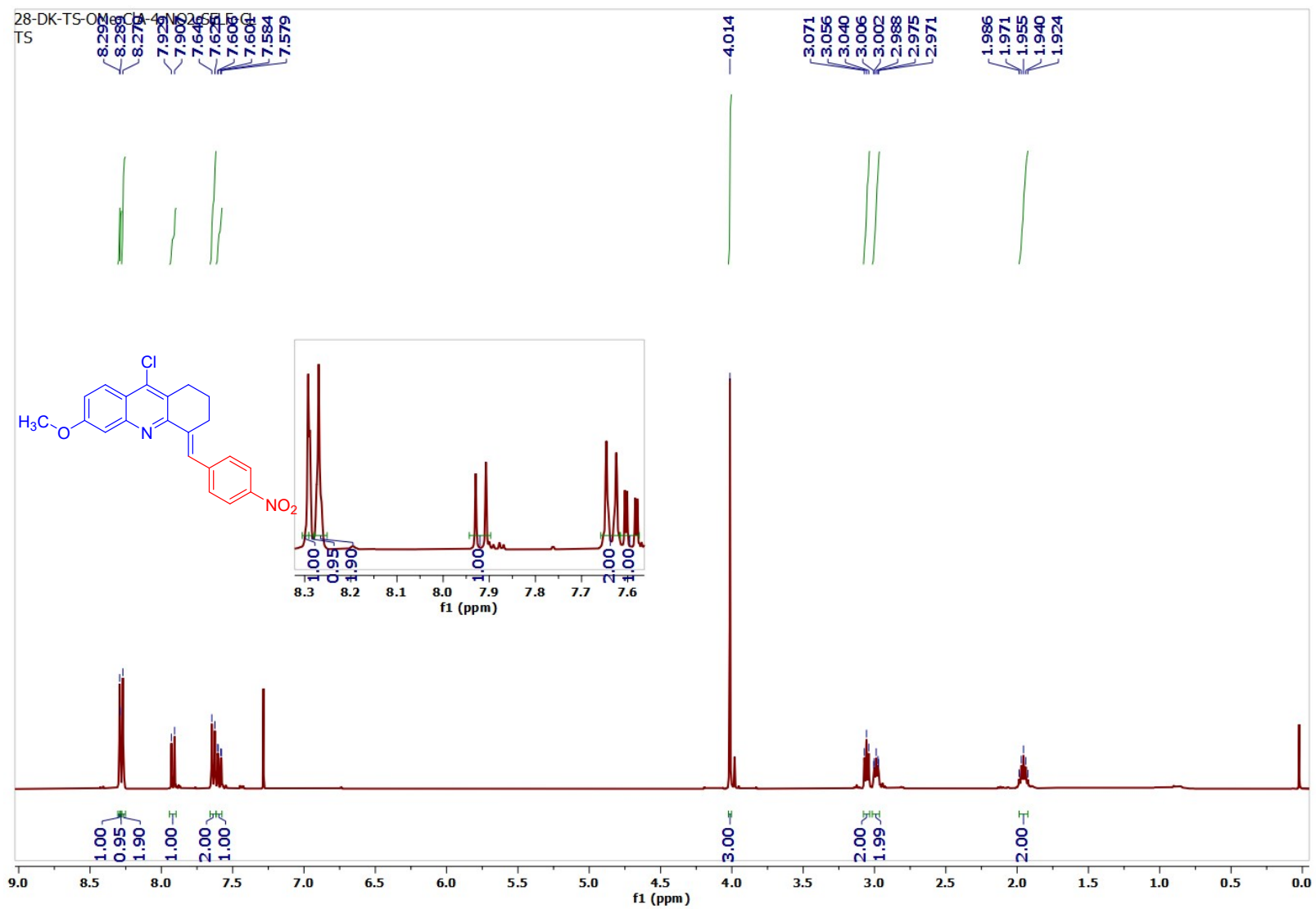


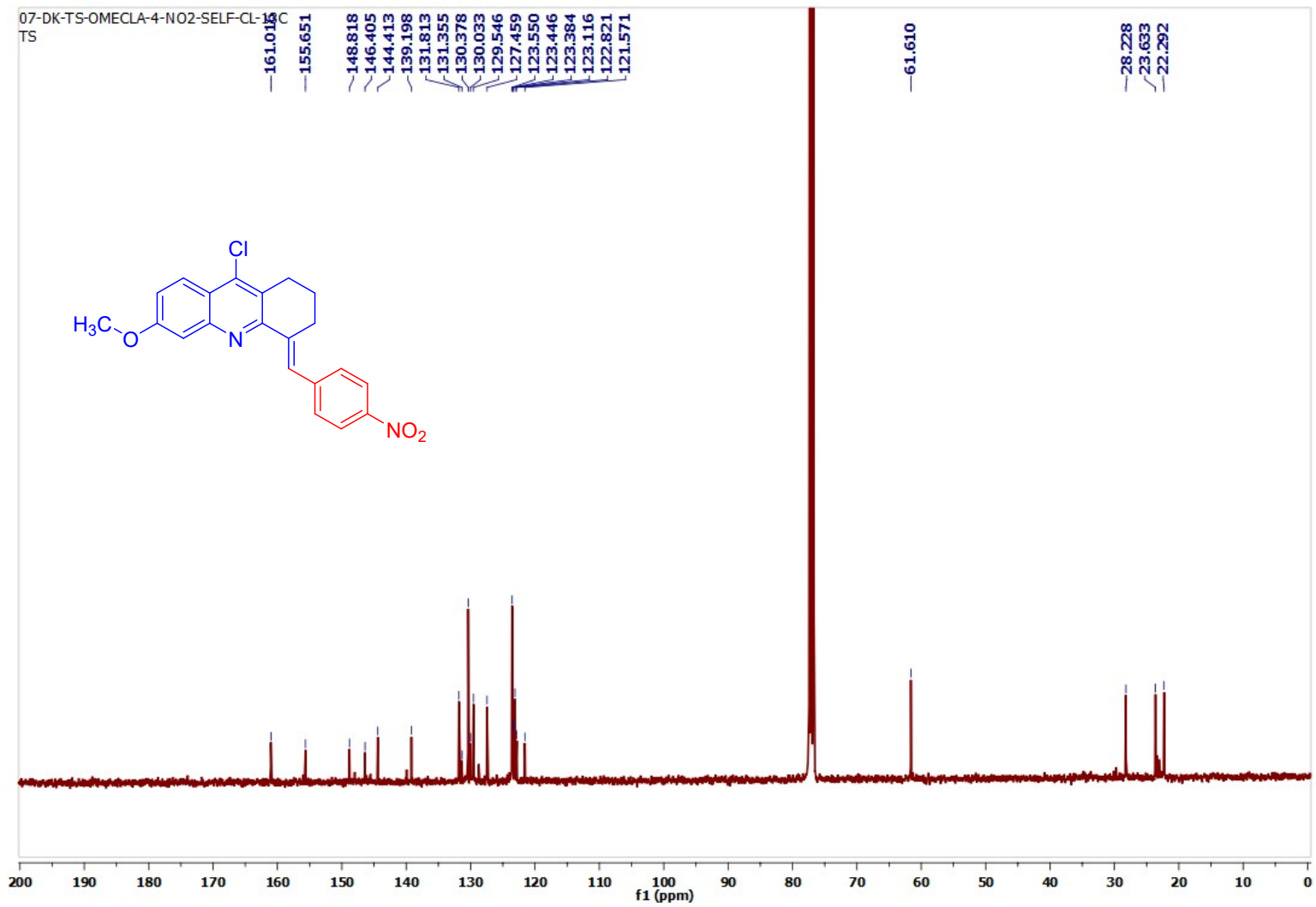


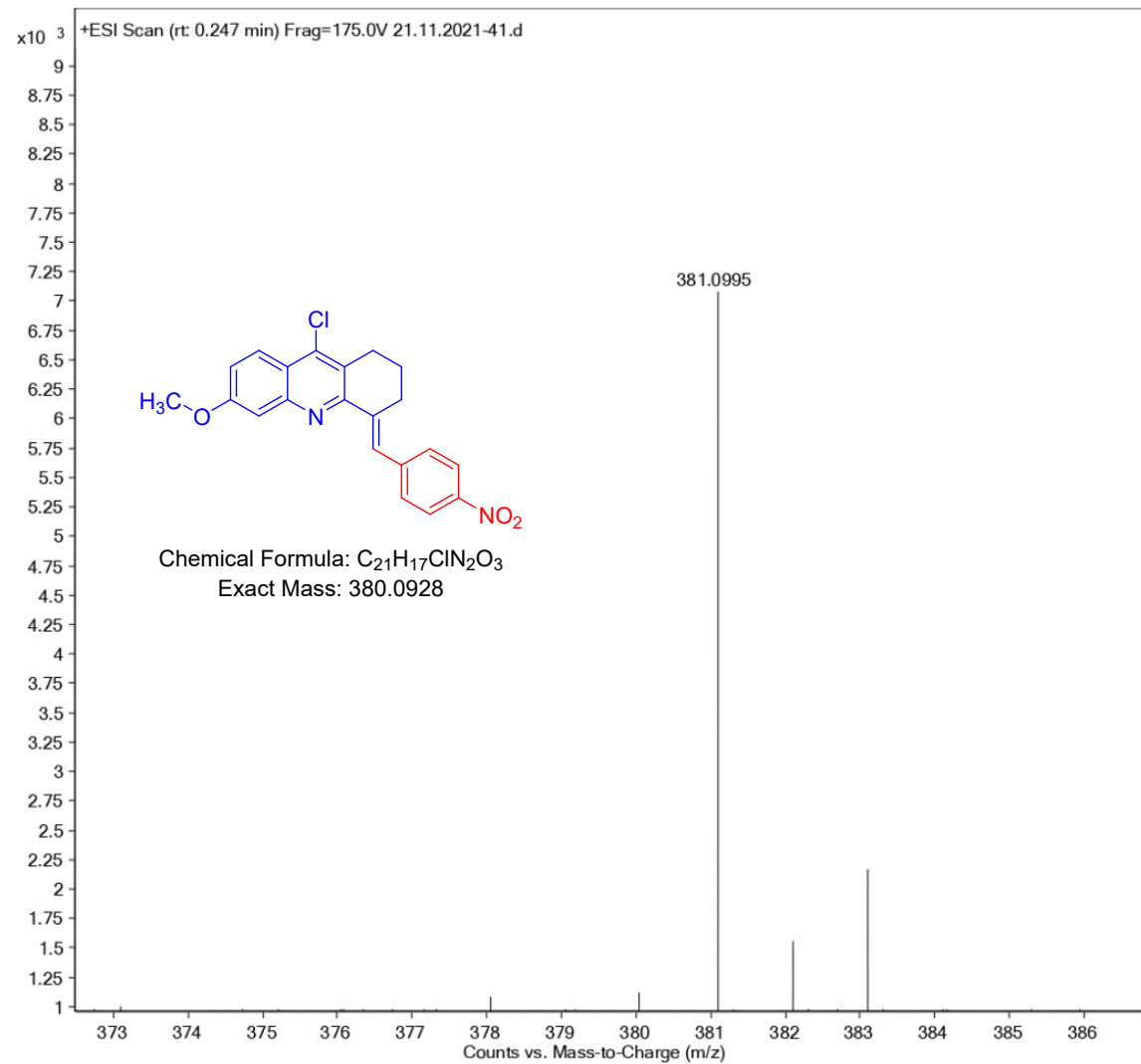




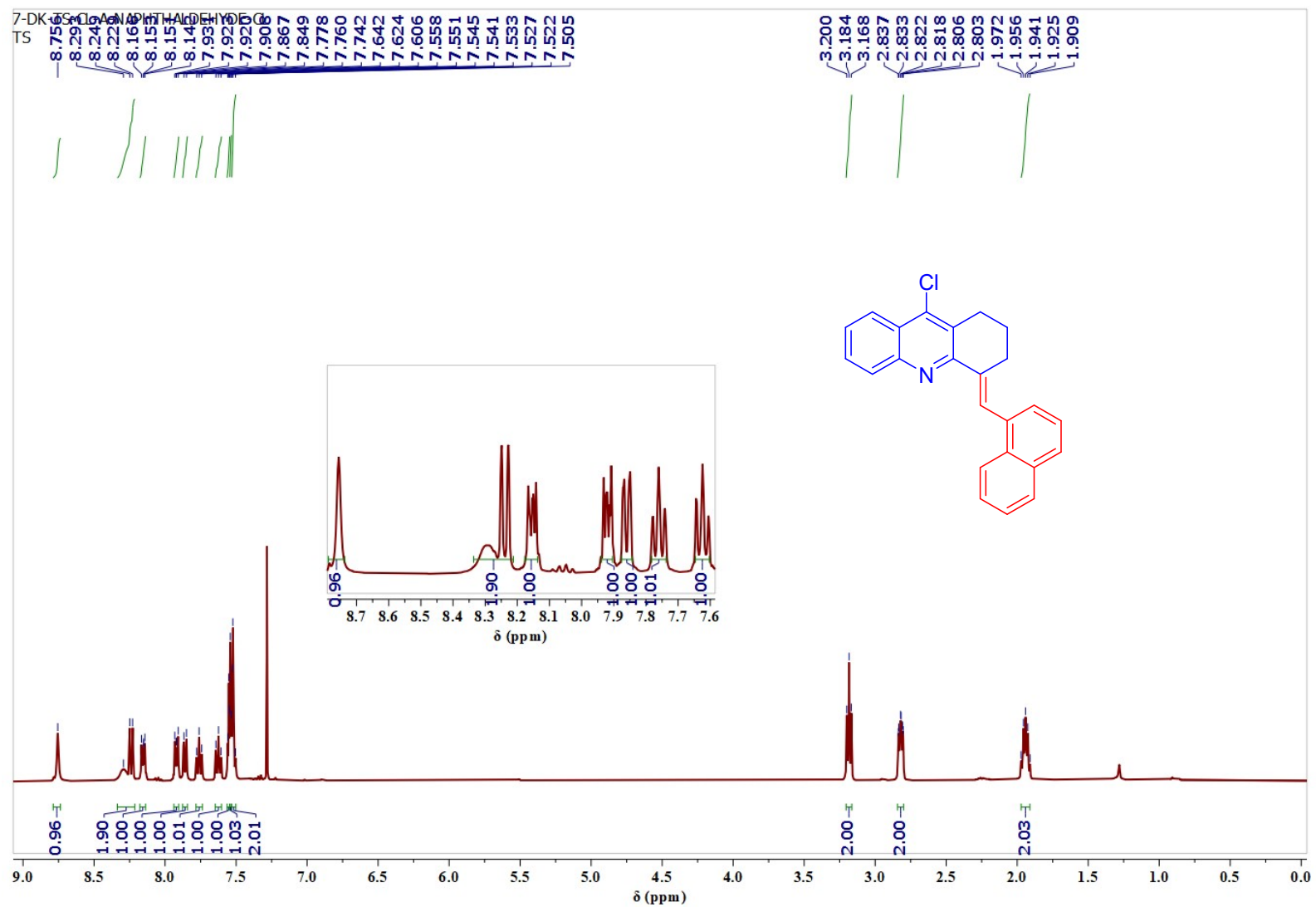
*(E)*-9-Chloro-6-methoxy-4-(4-nitrobenzylidene)-1,2,3,4-tetrahydroacridine (5v):

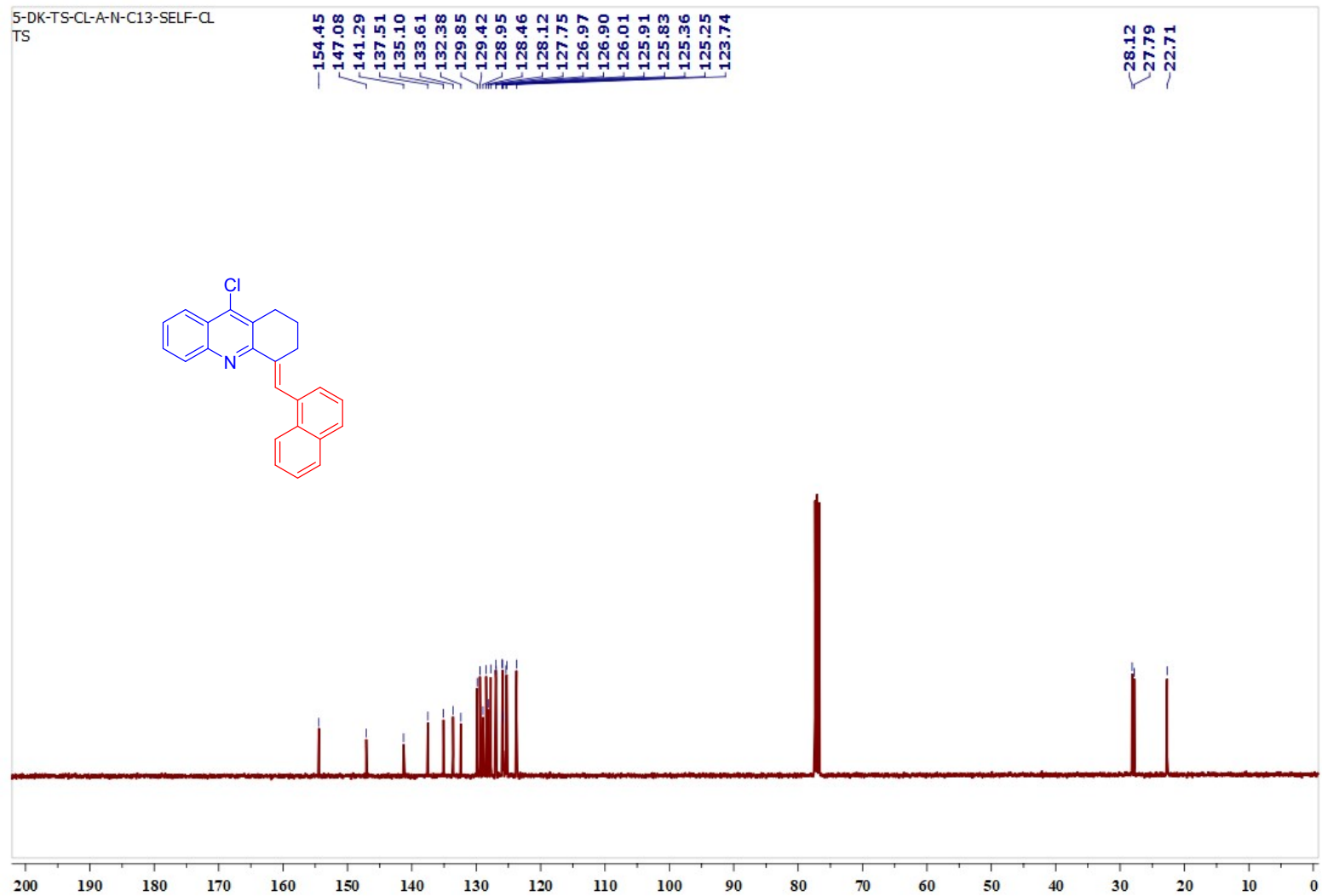


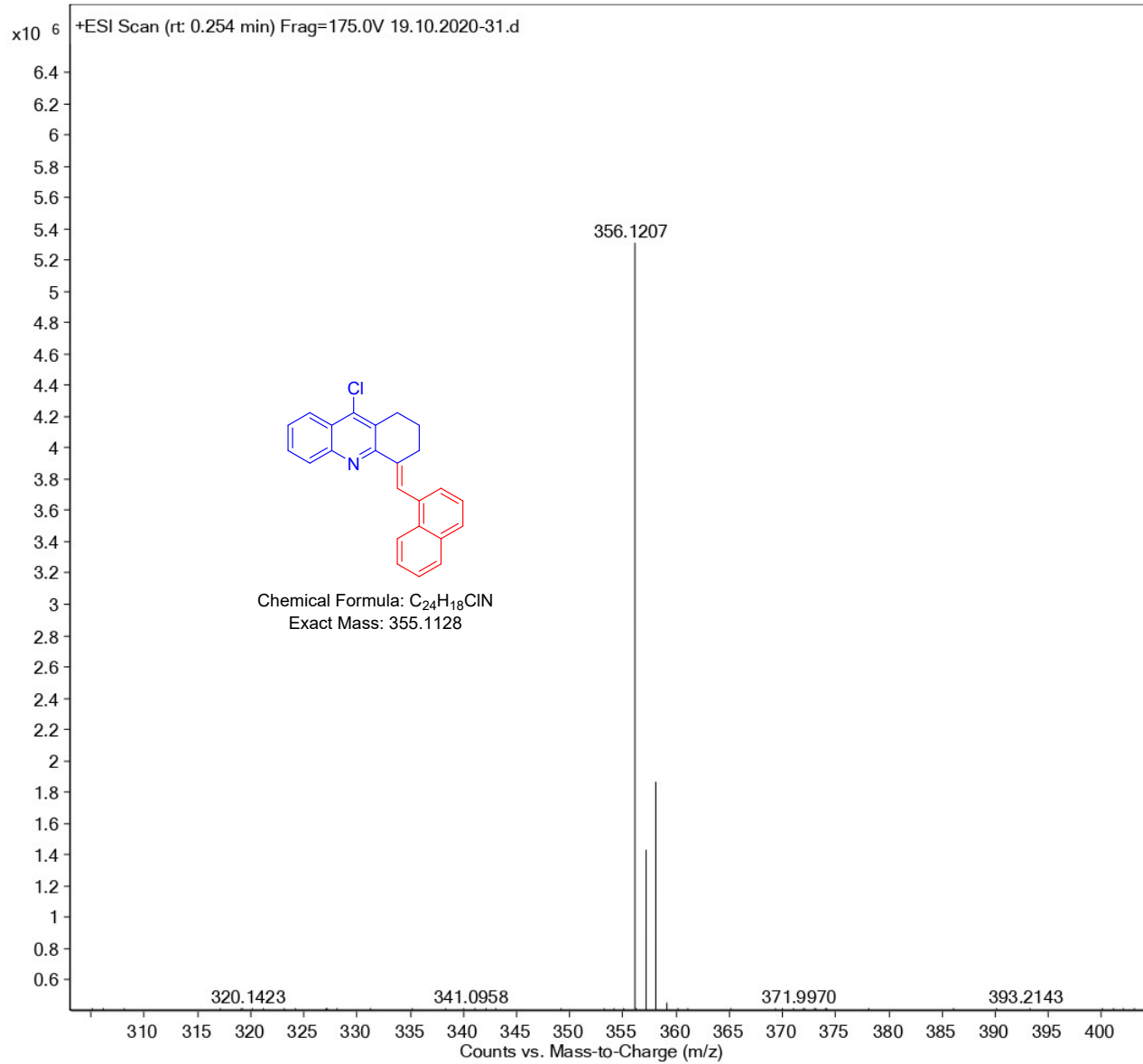




*(E)*-9-Chloro-4-(naphthalen-1-ylmethylene)-1,2,3,4-tetrahydroacridine (5w):

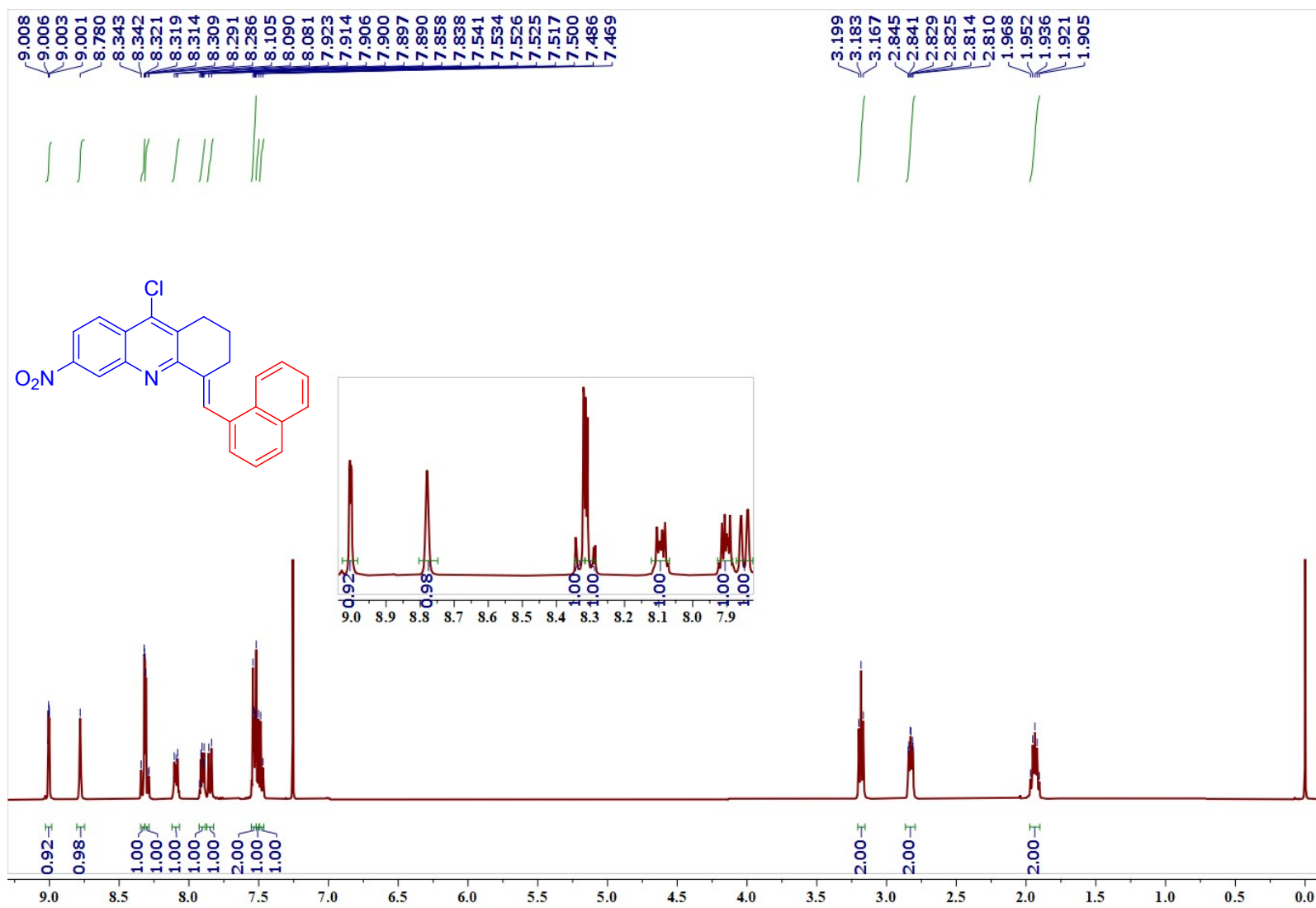


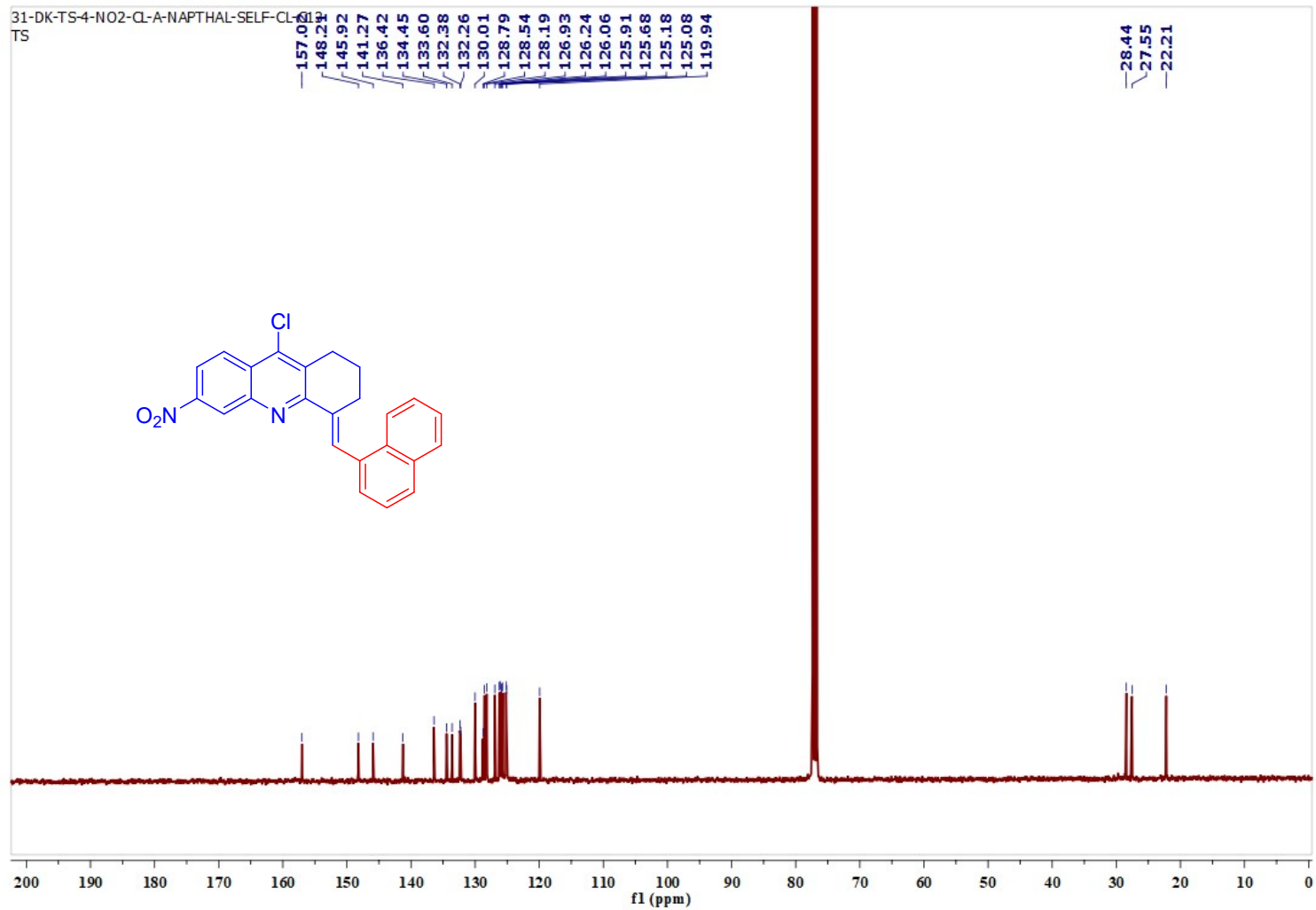


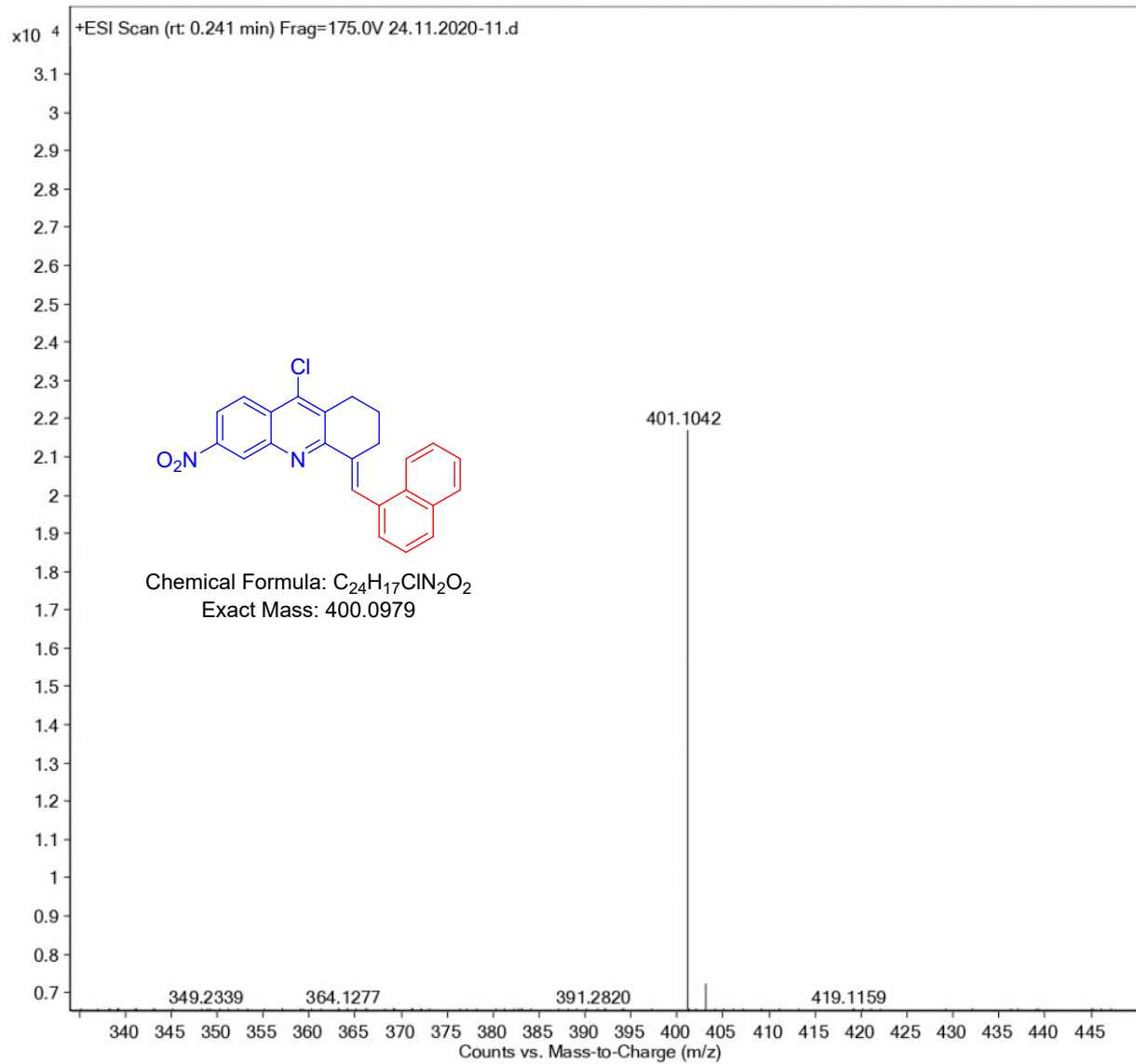




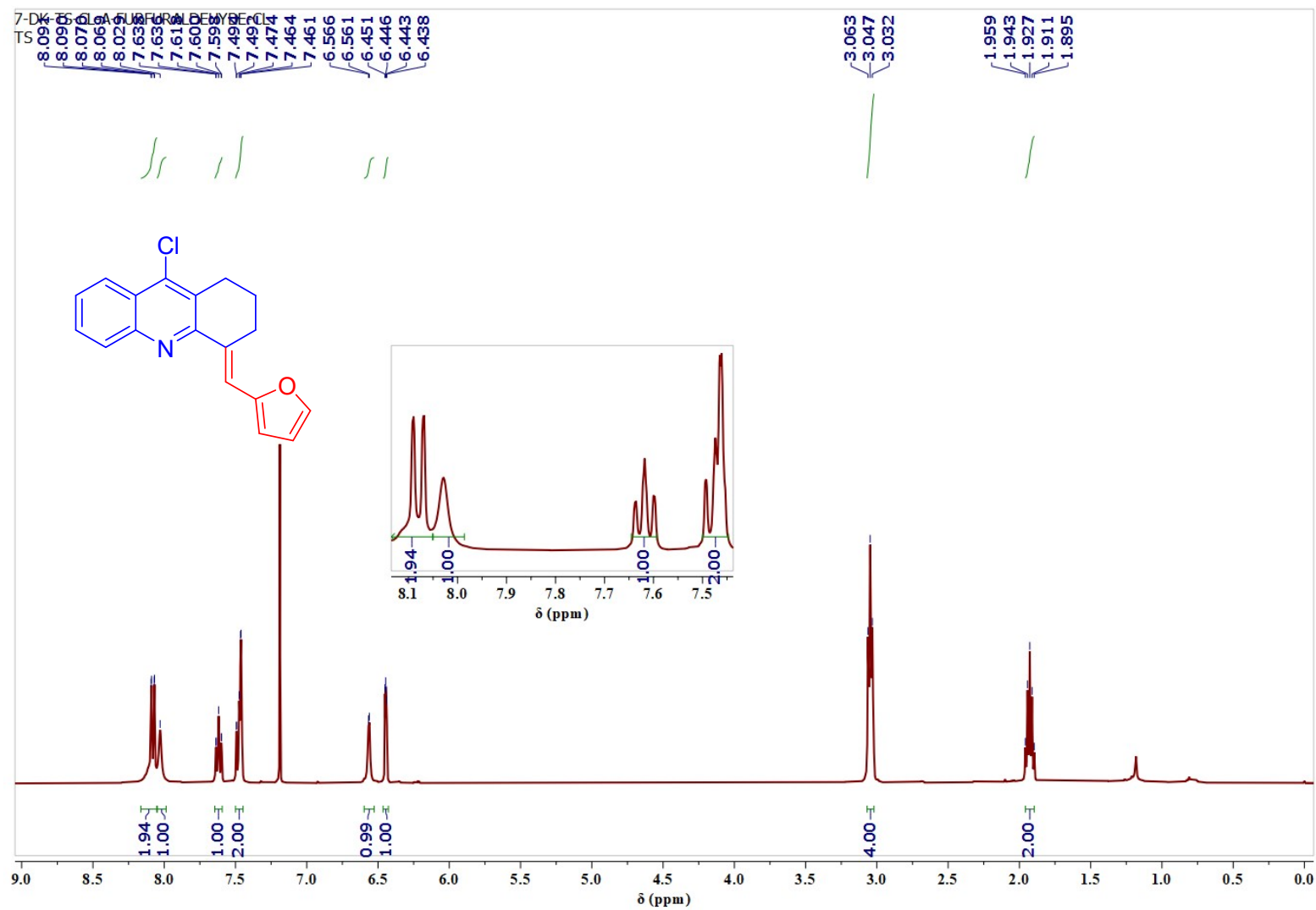
*(E)*-9-Chloro-4-(naphthalen-1-ylmethylene)-6-nitro-1,2,3,4-tetrahydroacridine (5x):

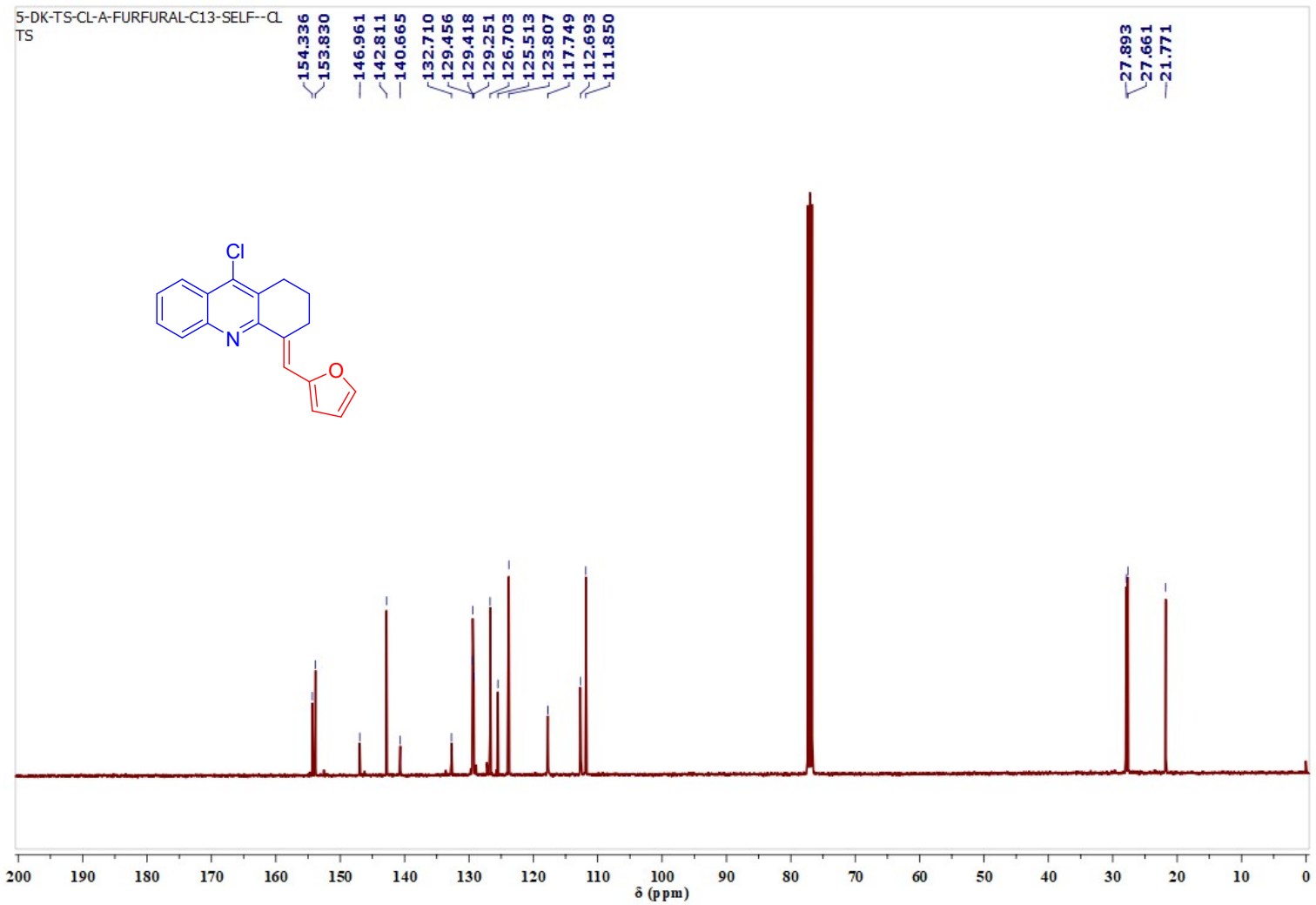


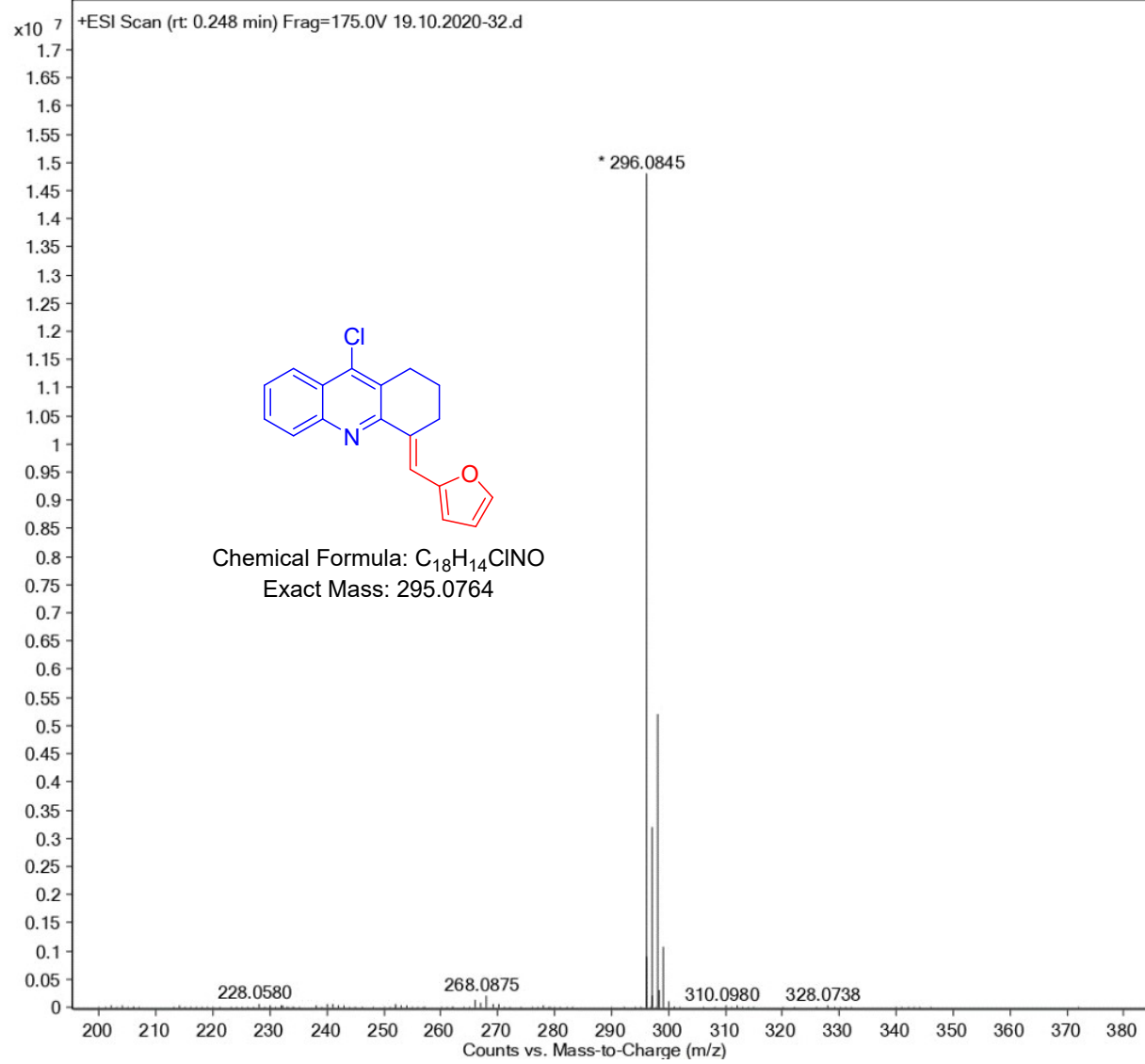




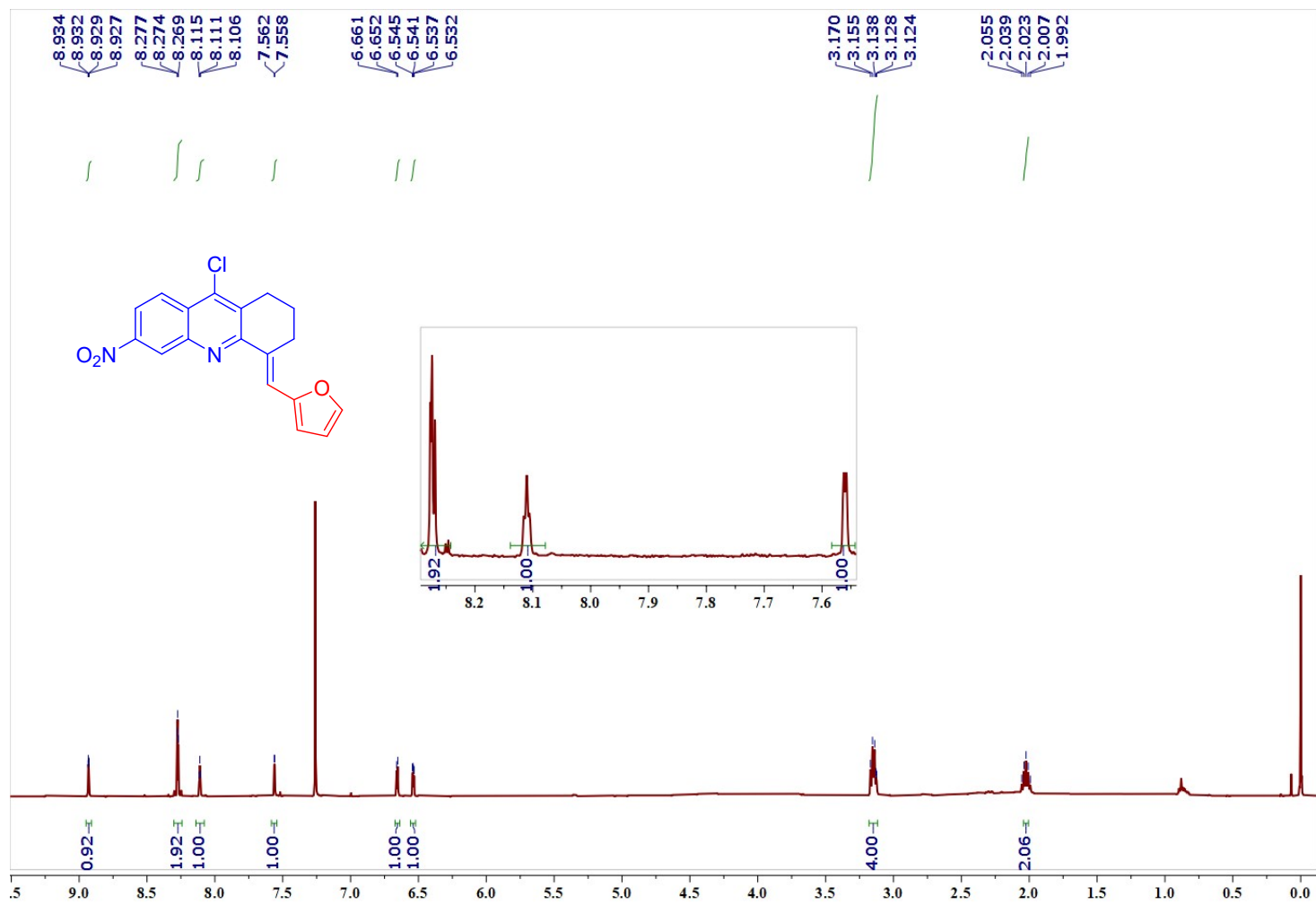
*(E)*-9-Chloro-4-(furan-2-ylmethylene)-1,2,3,4-tetrahydroacridine(5y):

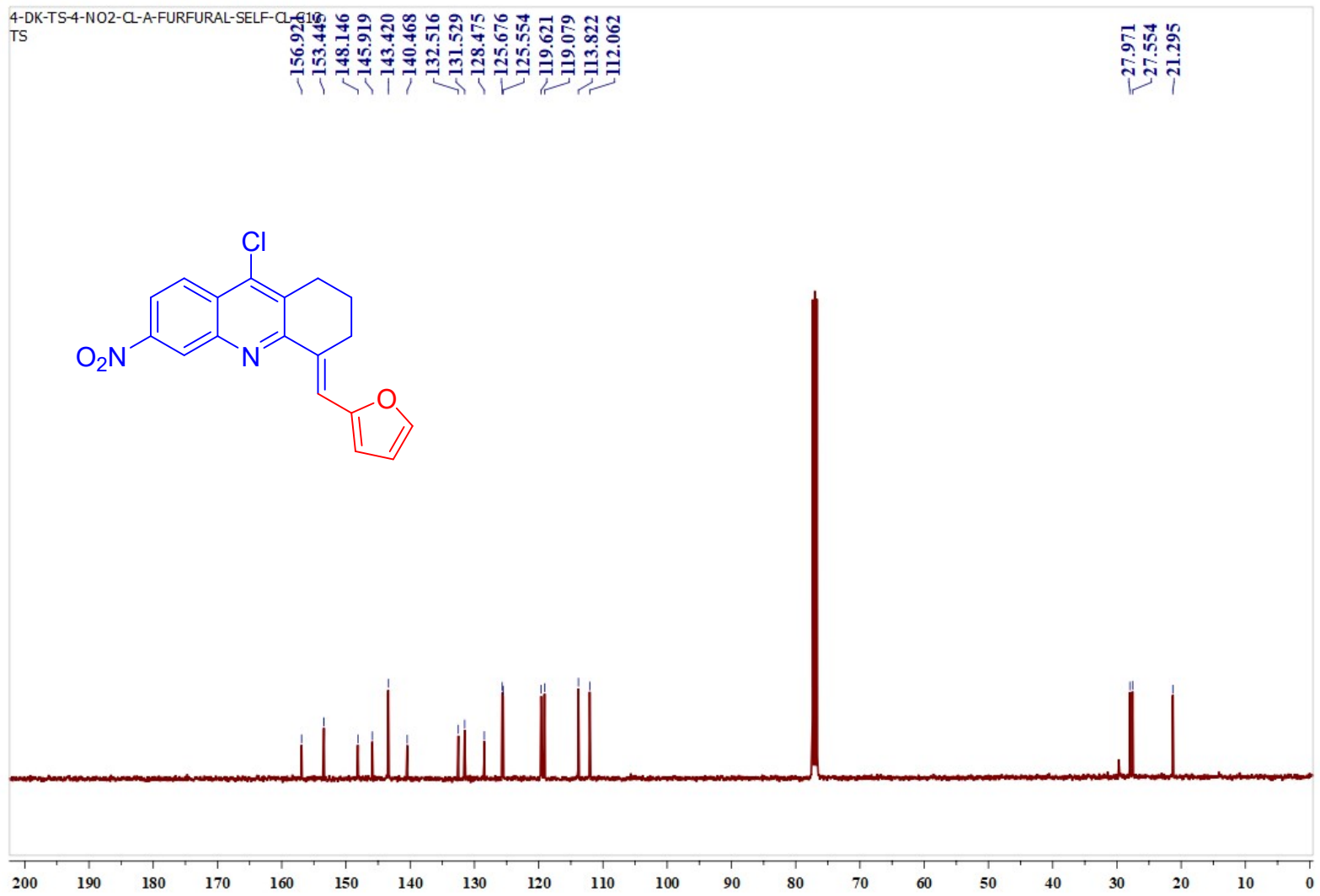




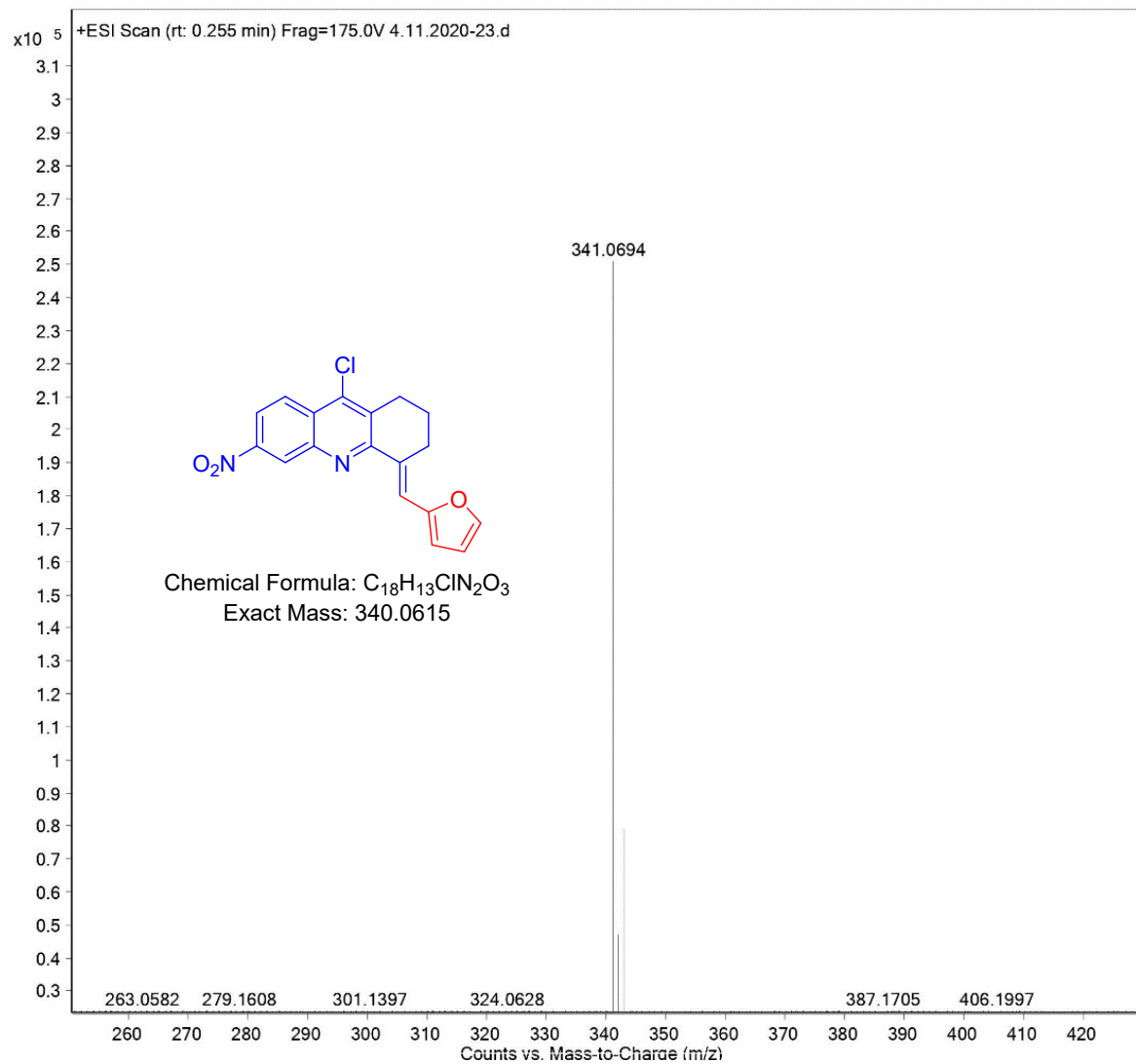


*(E)*-9-Chloro-4-(furan-2-ylmethylene)-6-nitro-1,2,3,4-tetrahydroacridine (5z):



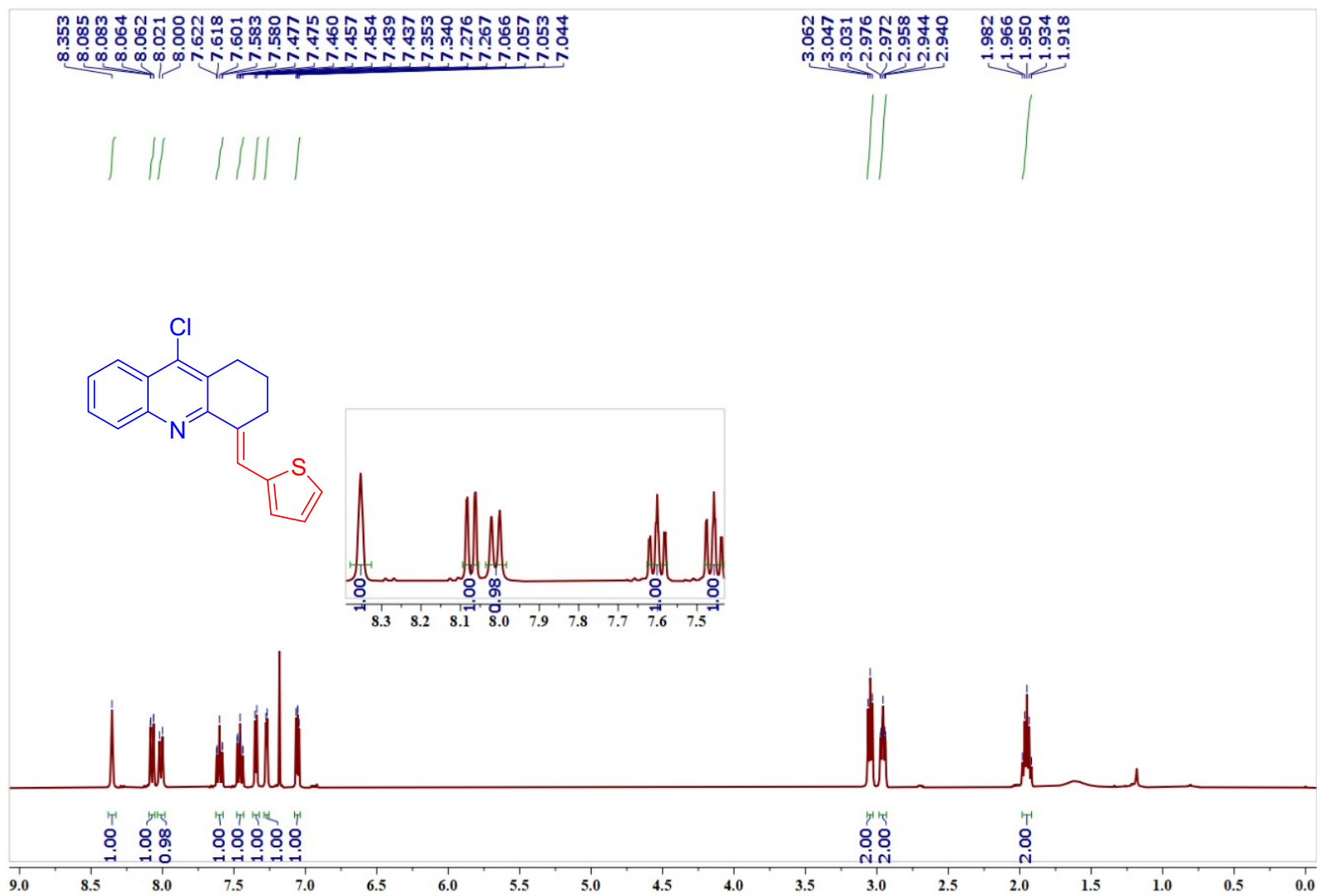


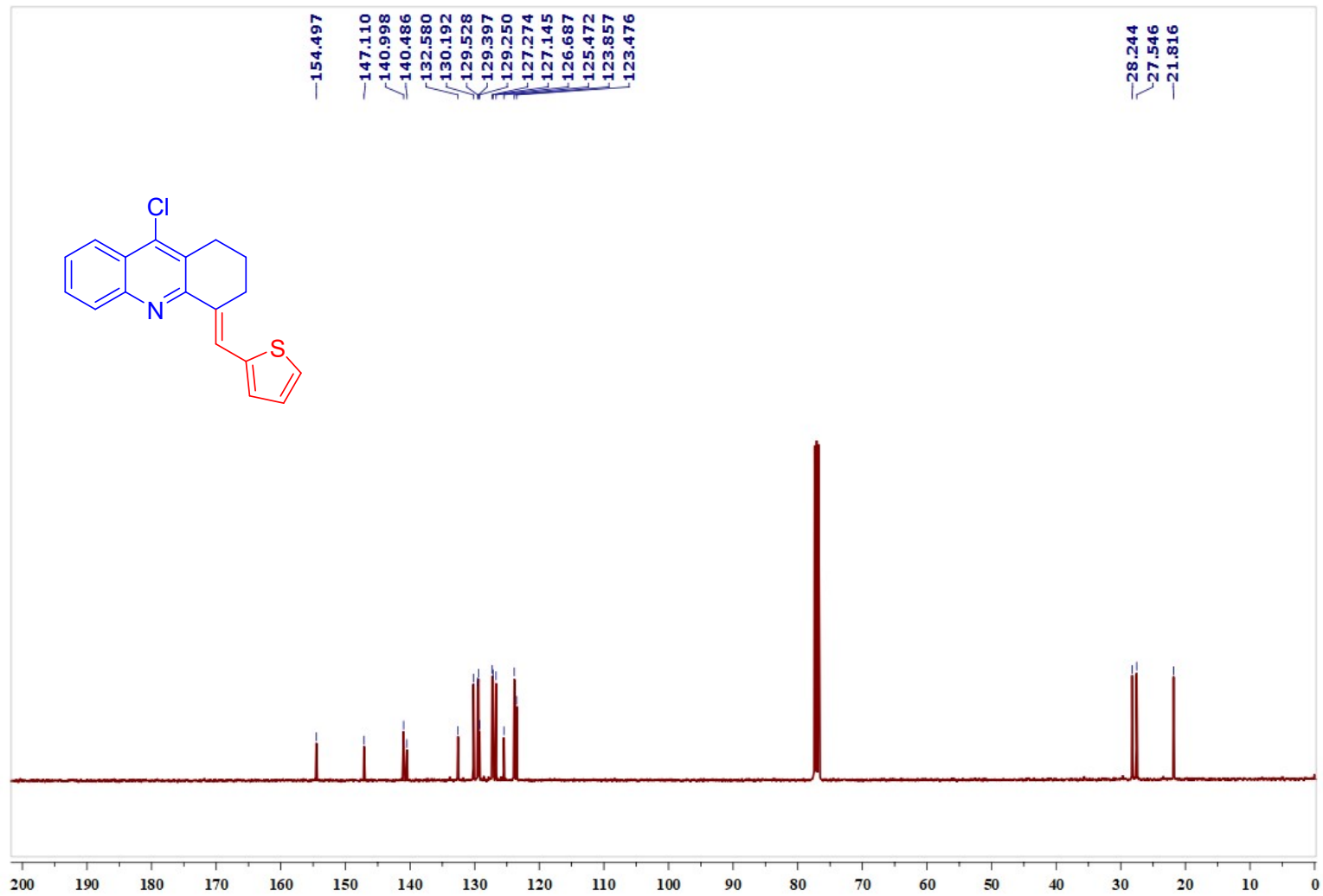




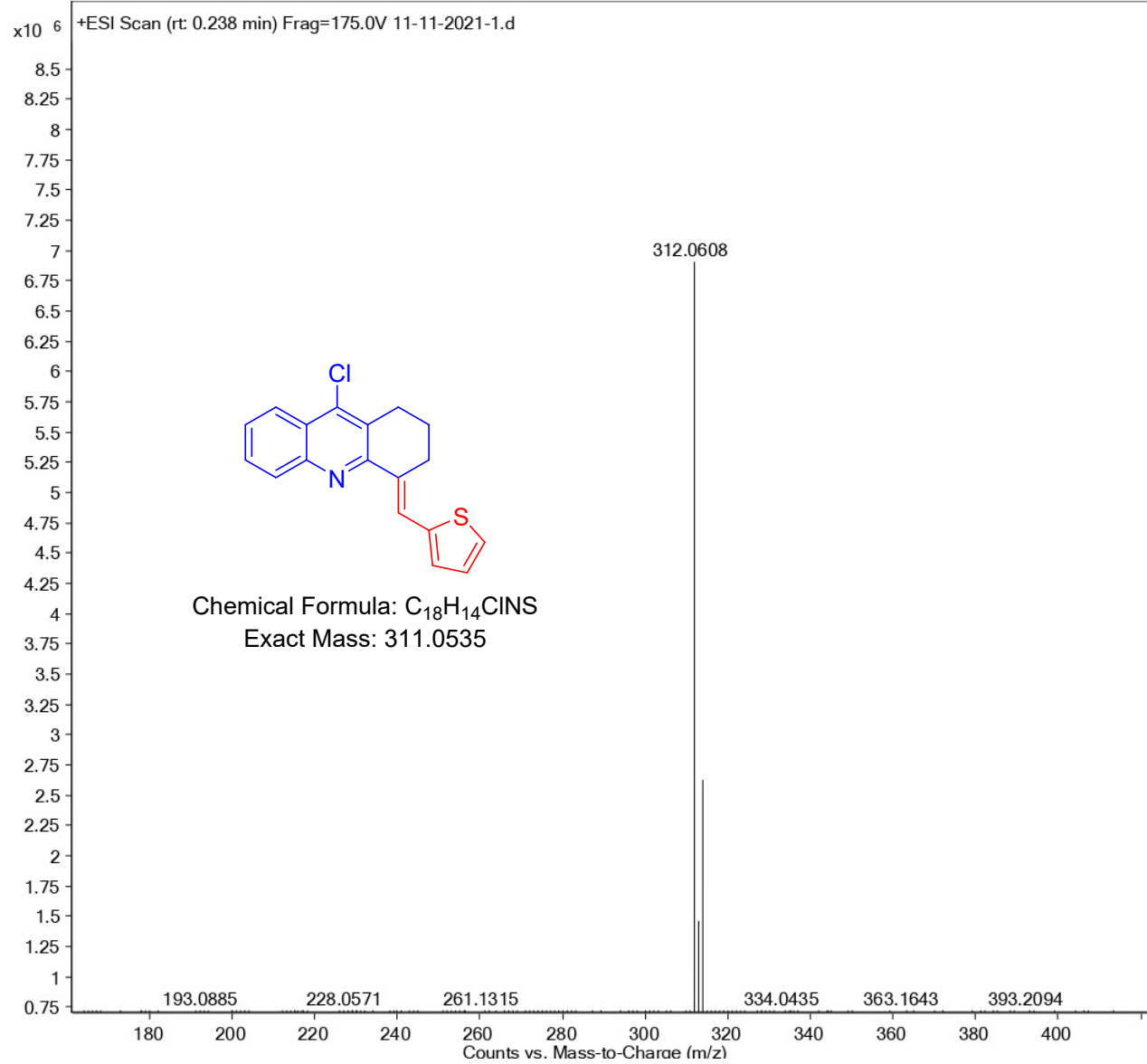
S101

*(E)*-9-Chloro-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridine (5aa):

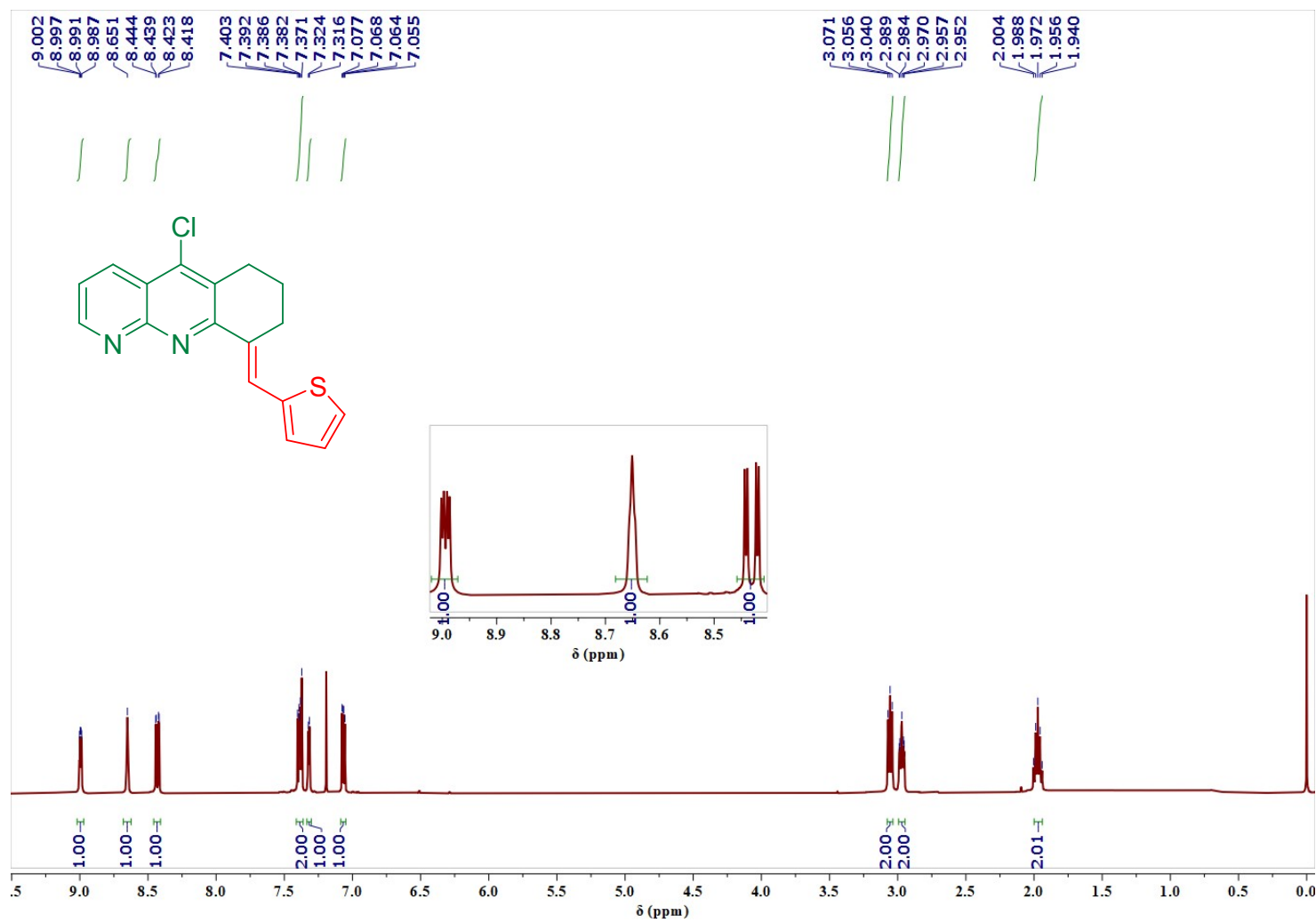


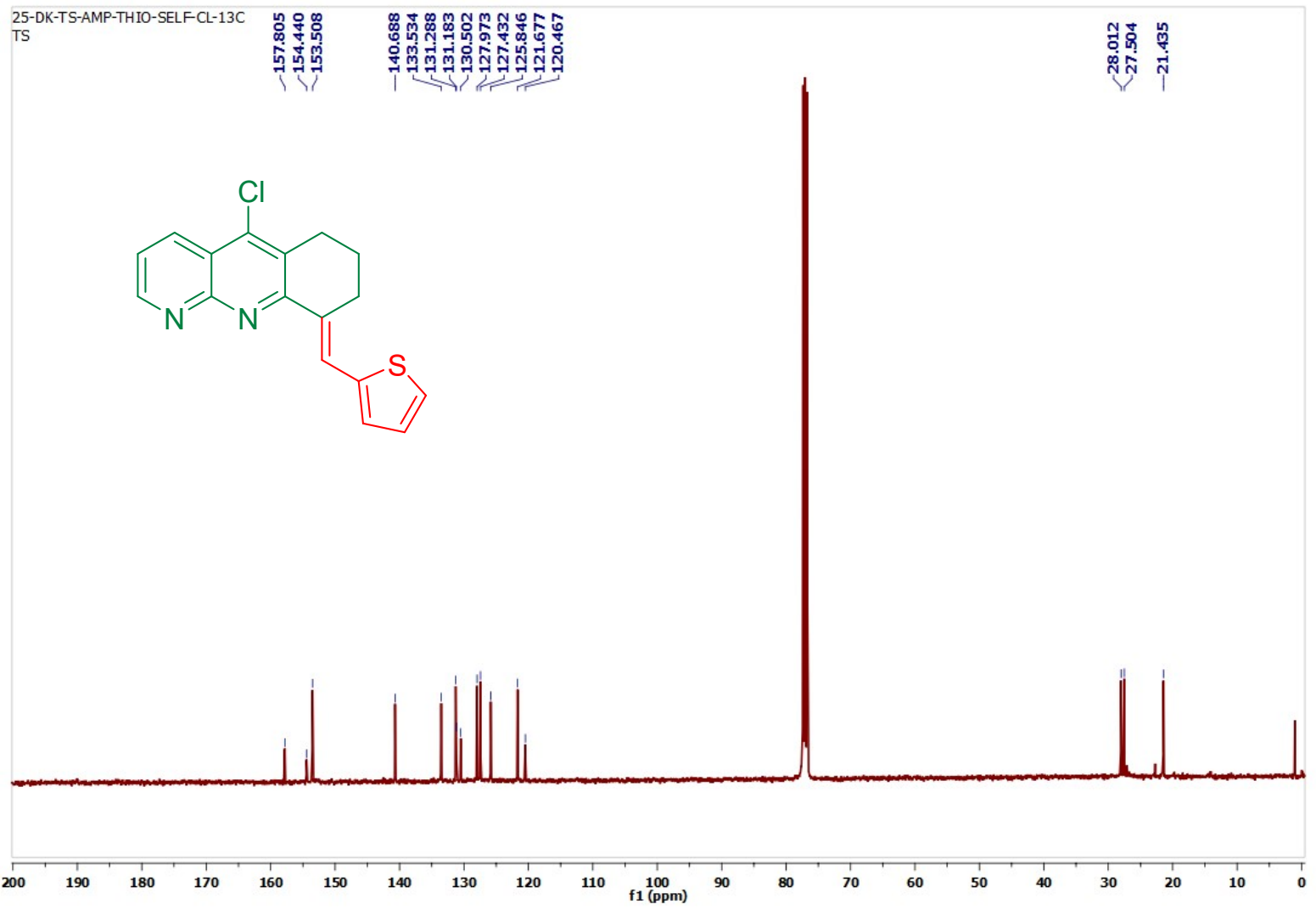


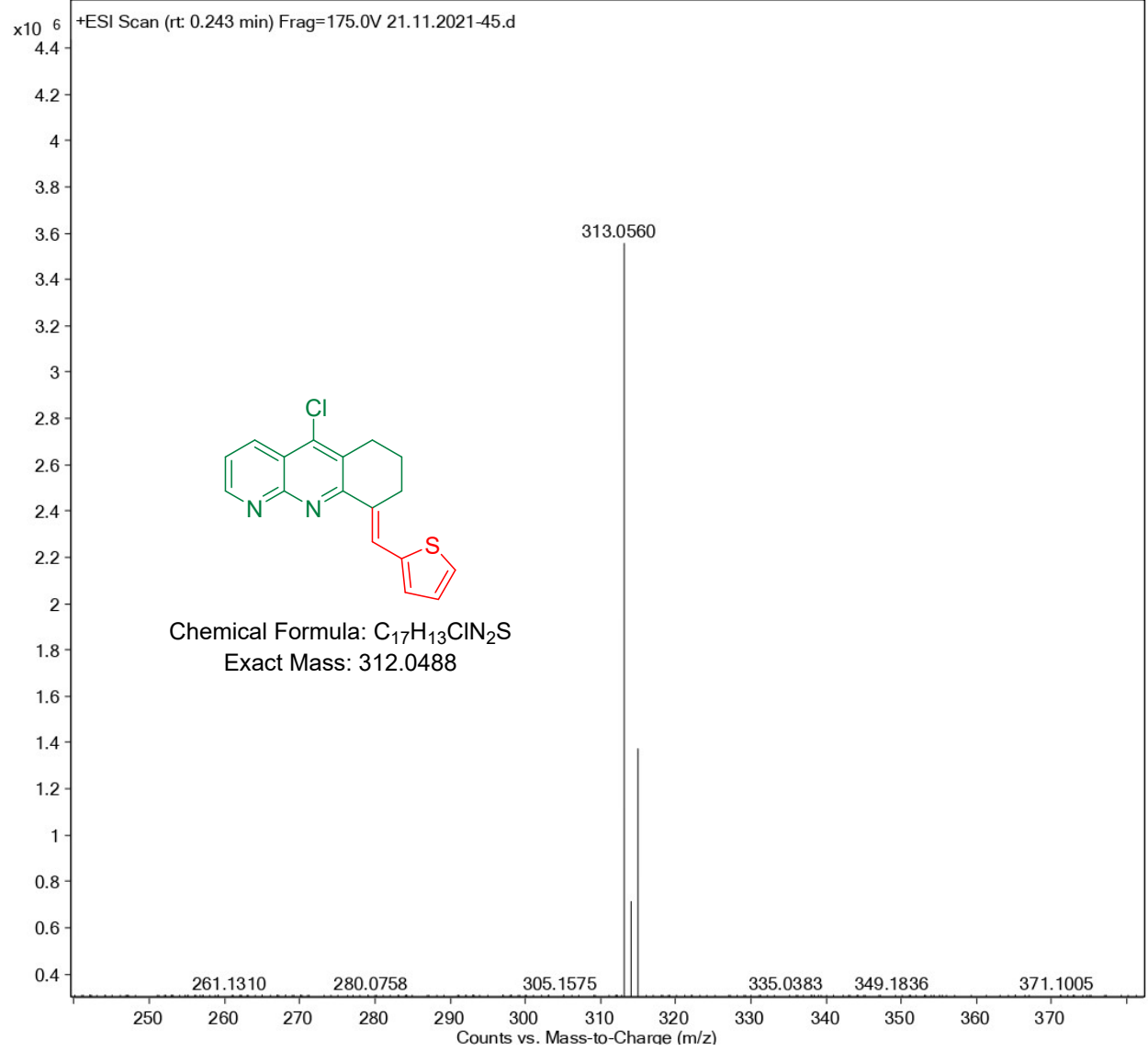
S103



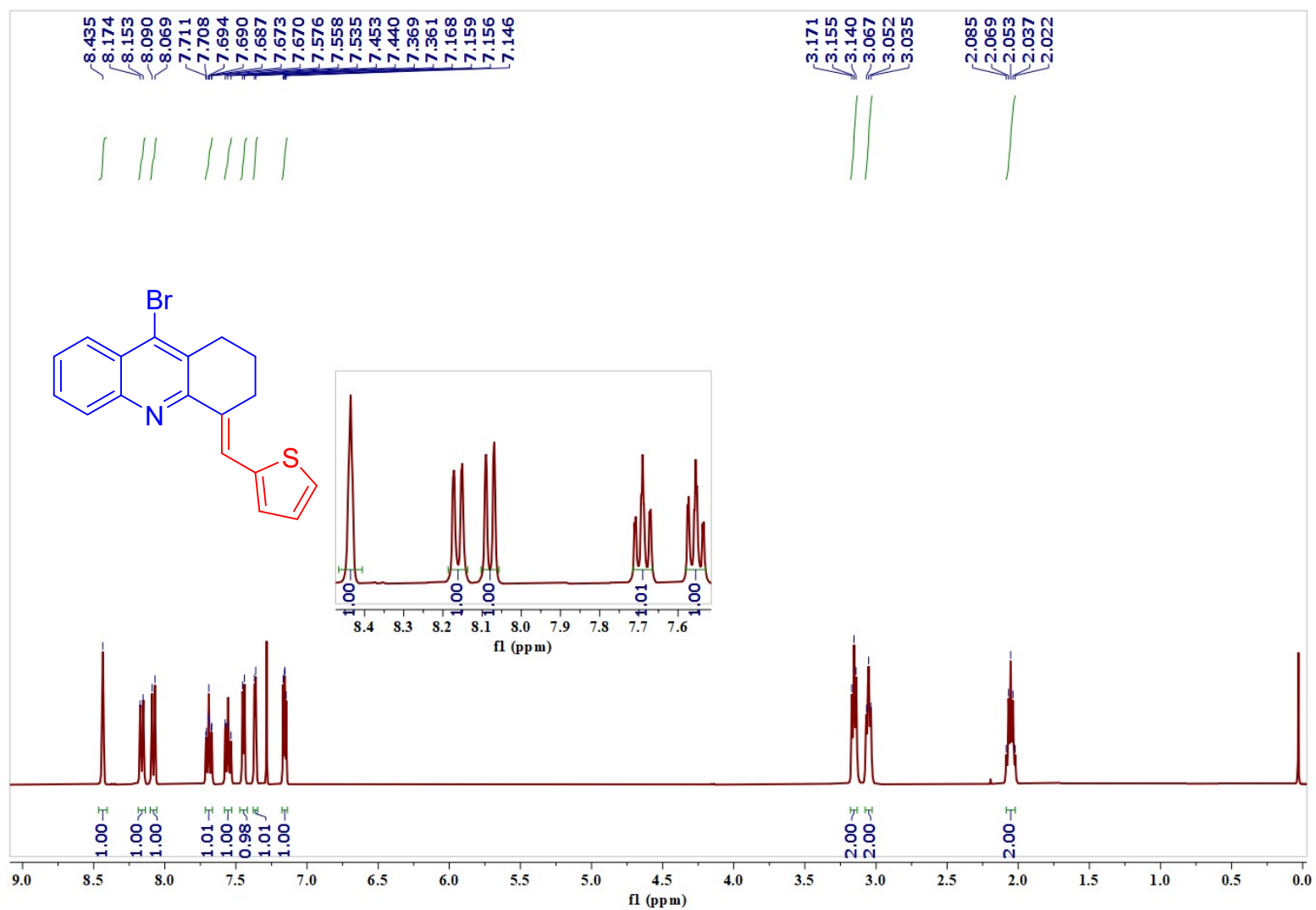
*(E)*-5-Chloro-9-(thiophen-2-ylmethylene)-6,7,8,9-tetrahydrobenzo[*b*][1,8]naphthyridine (*5ab*):



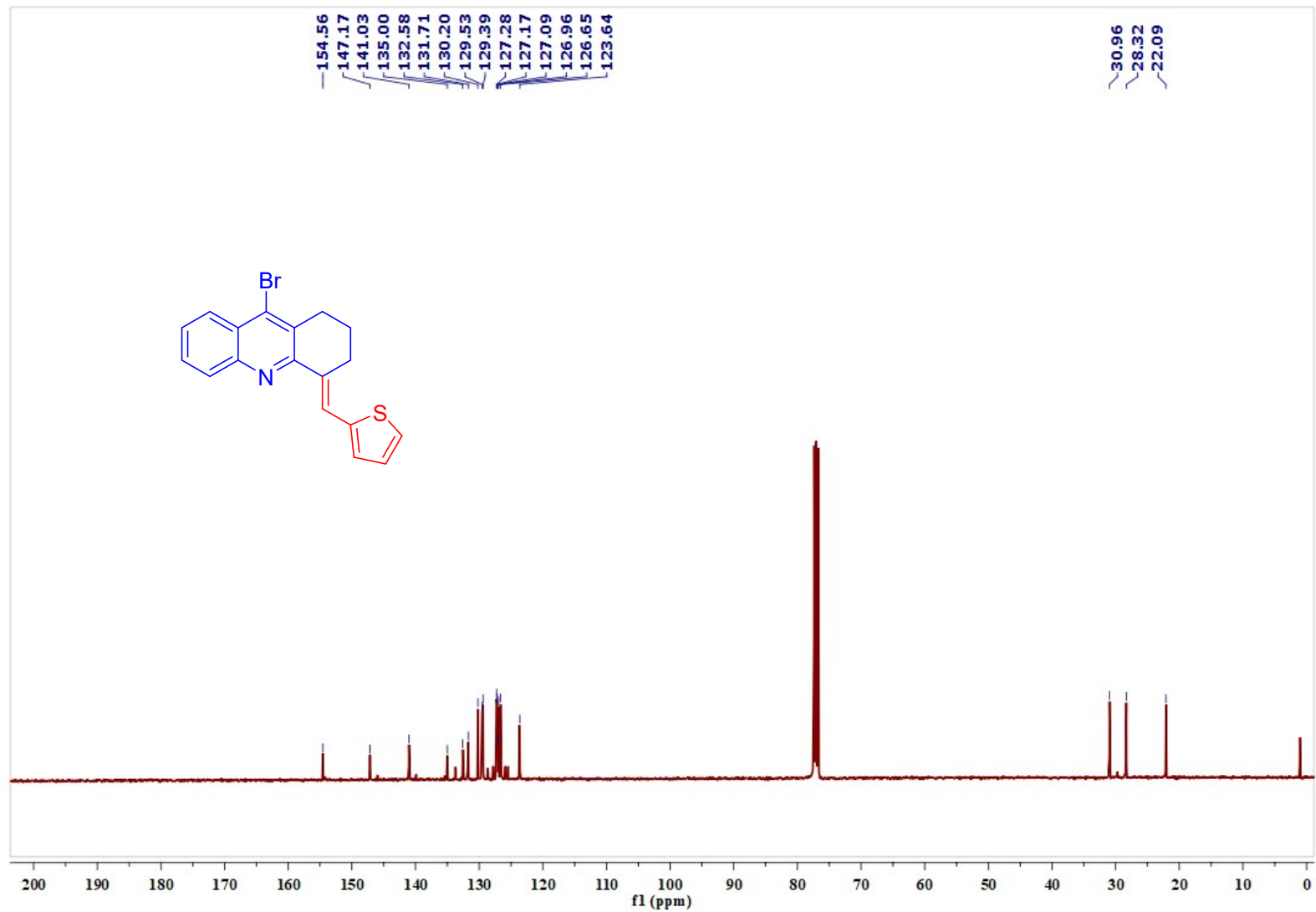


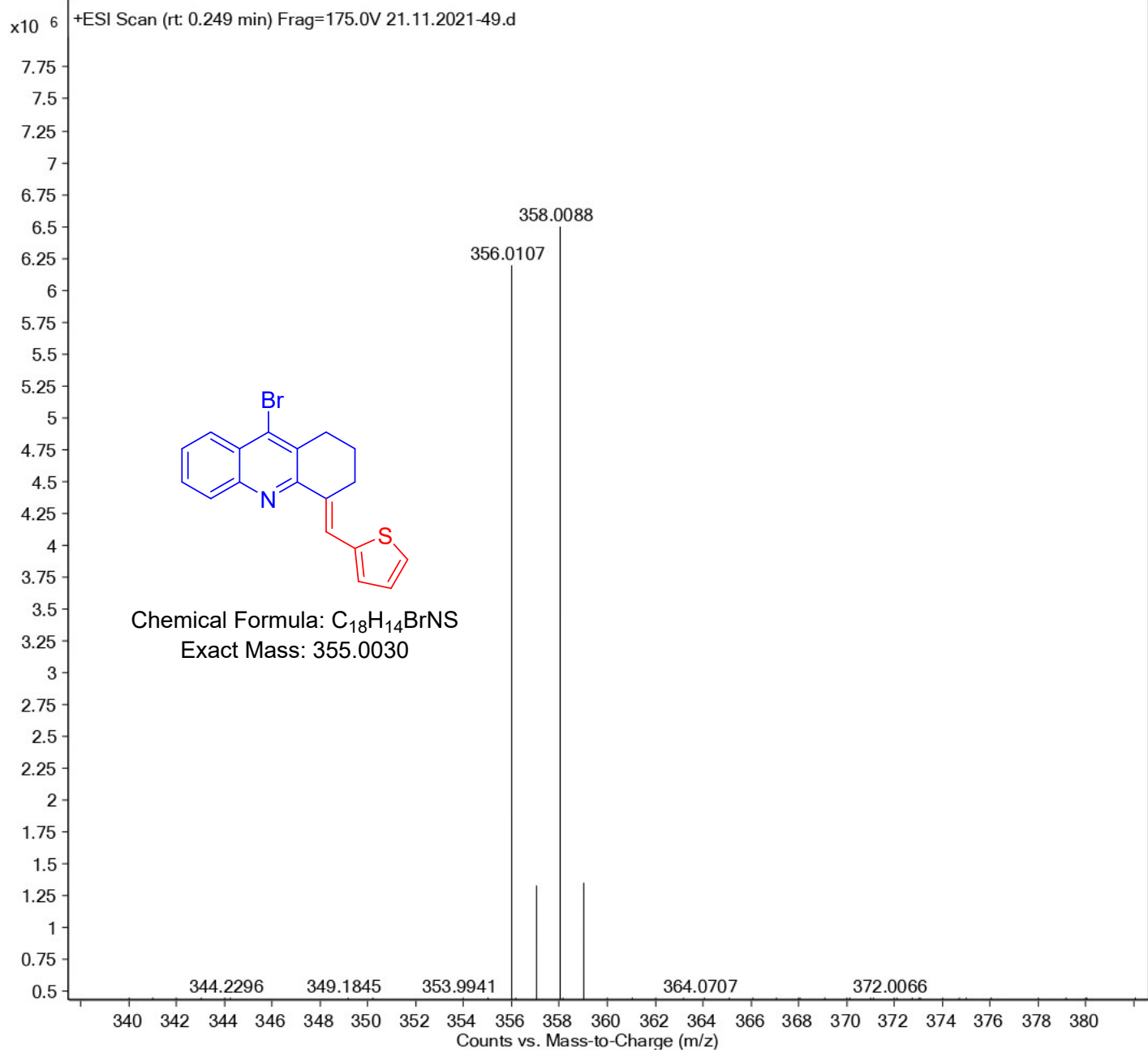


*(E)*-9-Bromo-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridine (5ac):

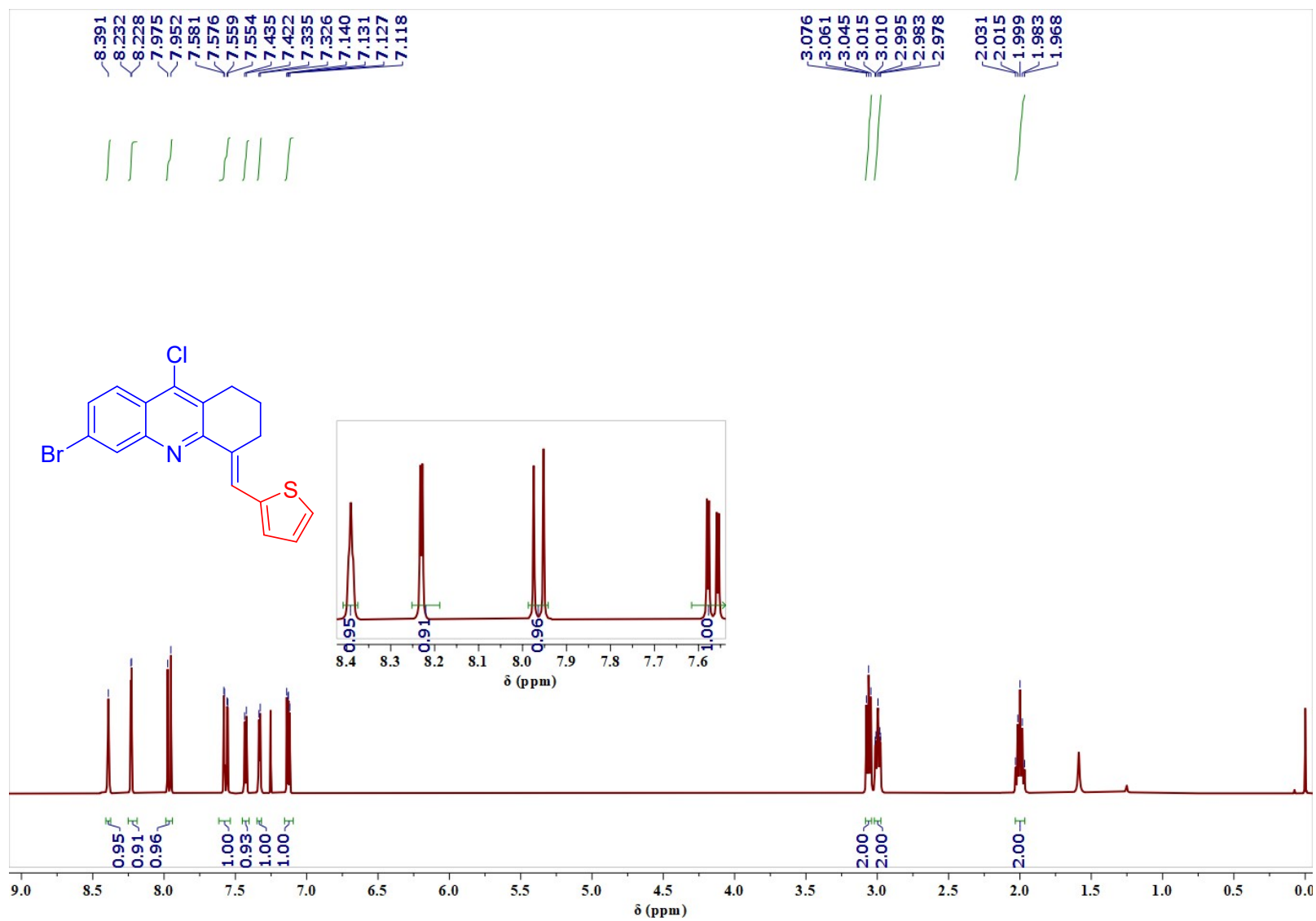


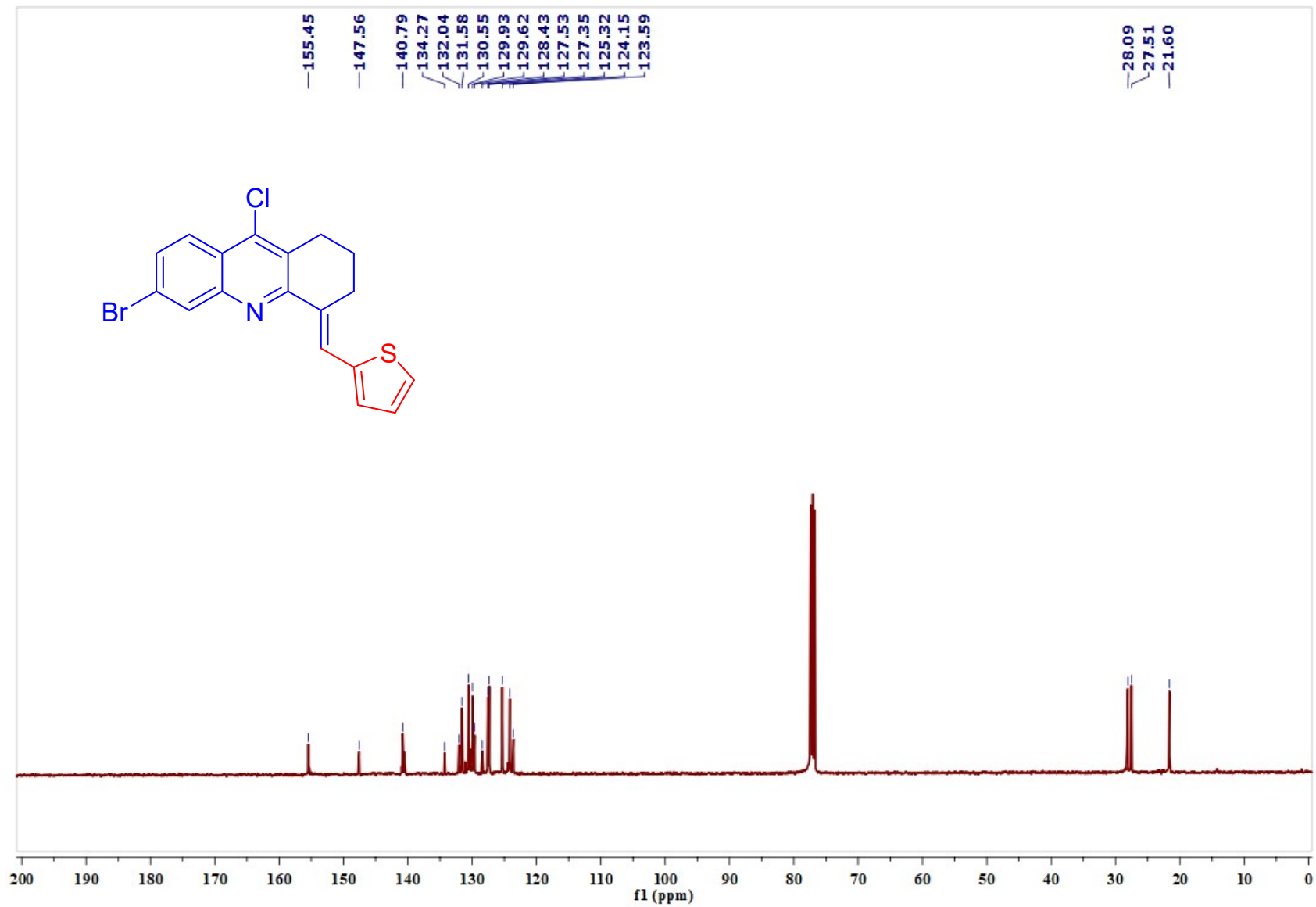




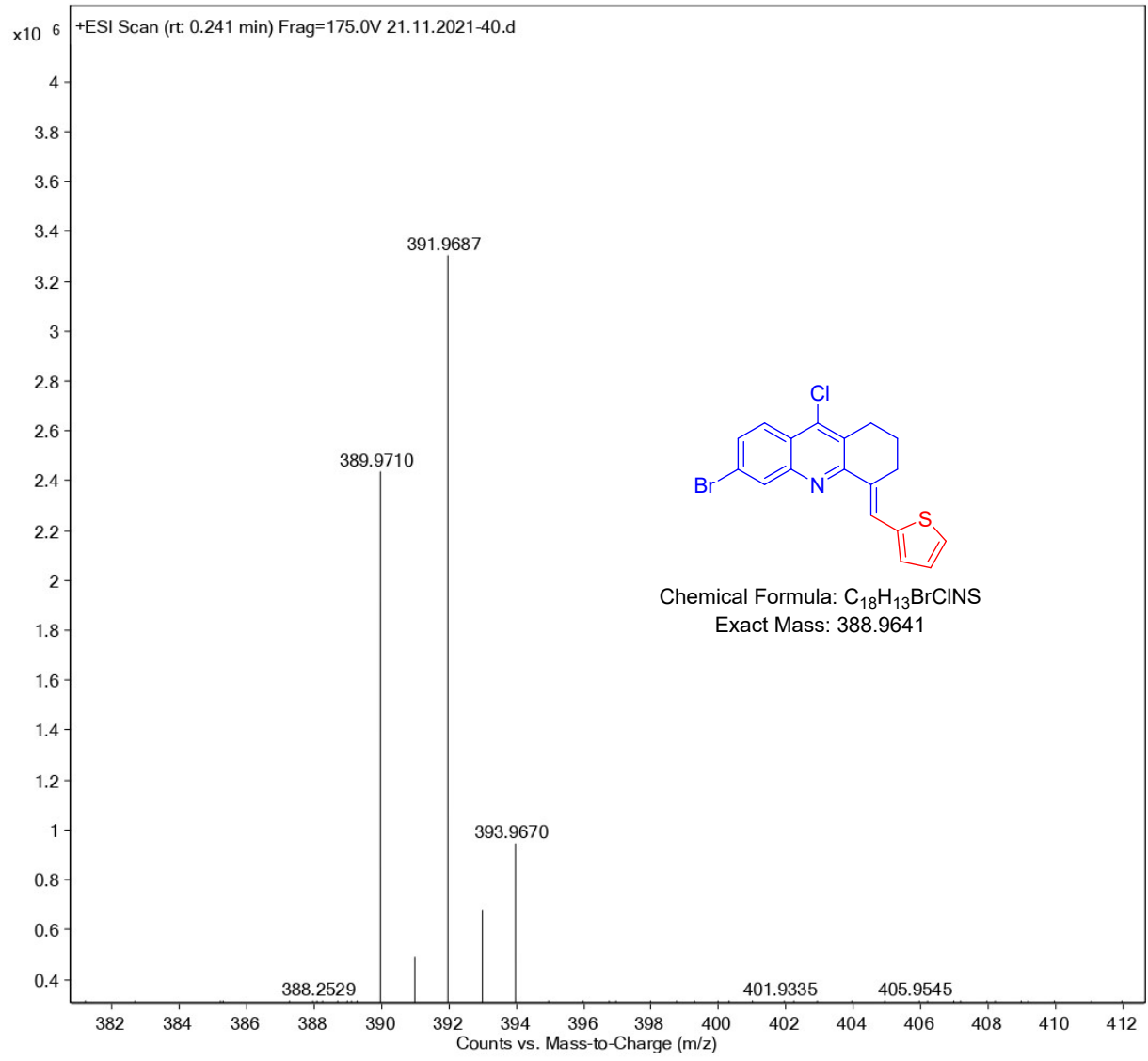


*(E)*-6-Bromo-9-chloro-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridine (5ad):

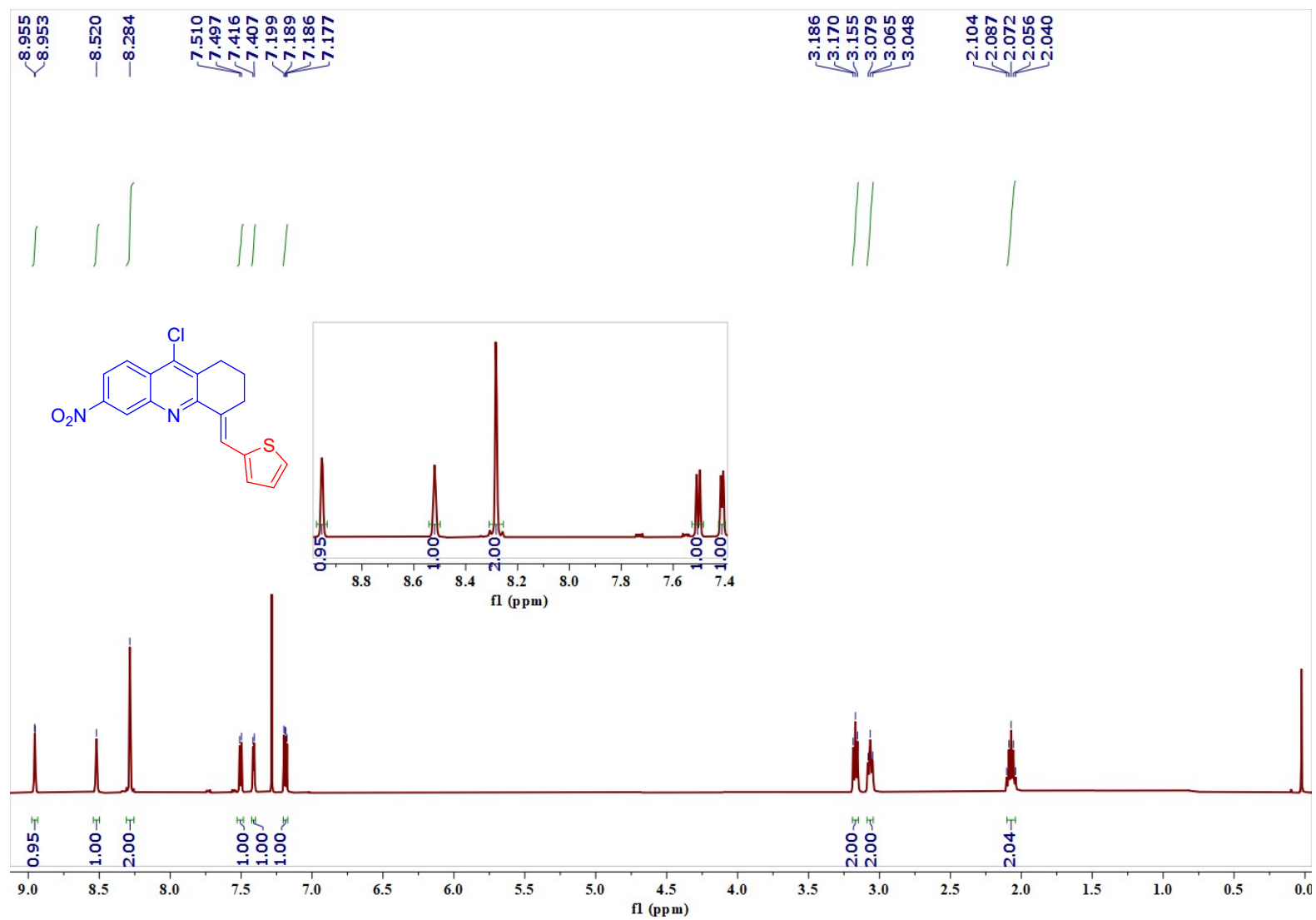


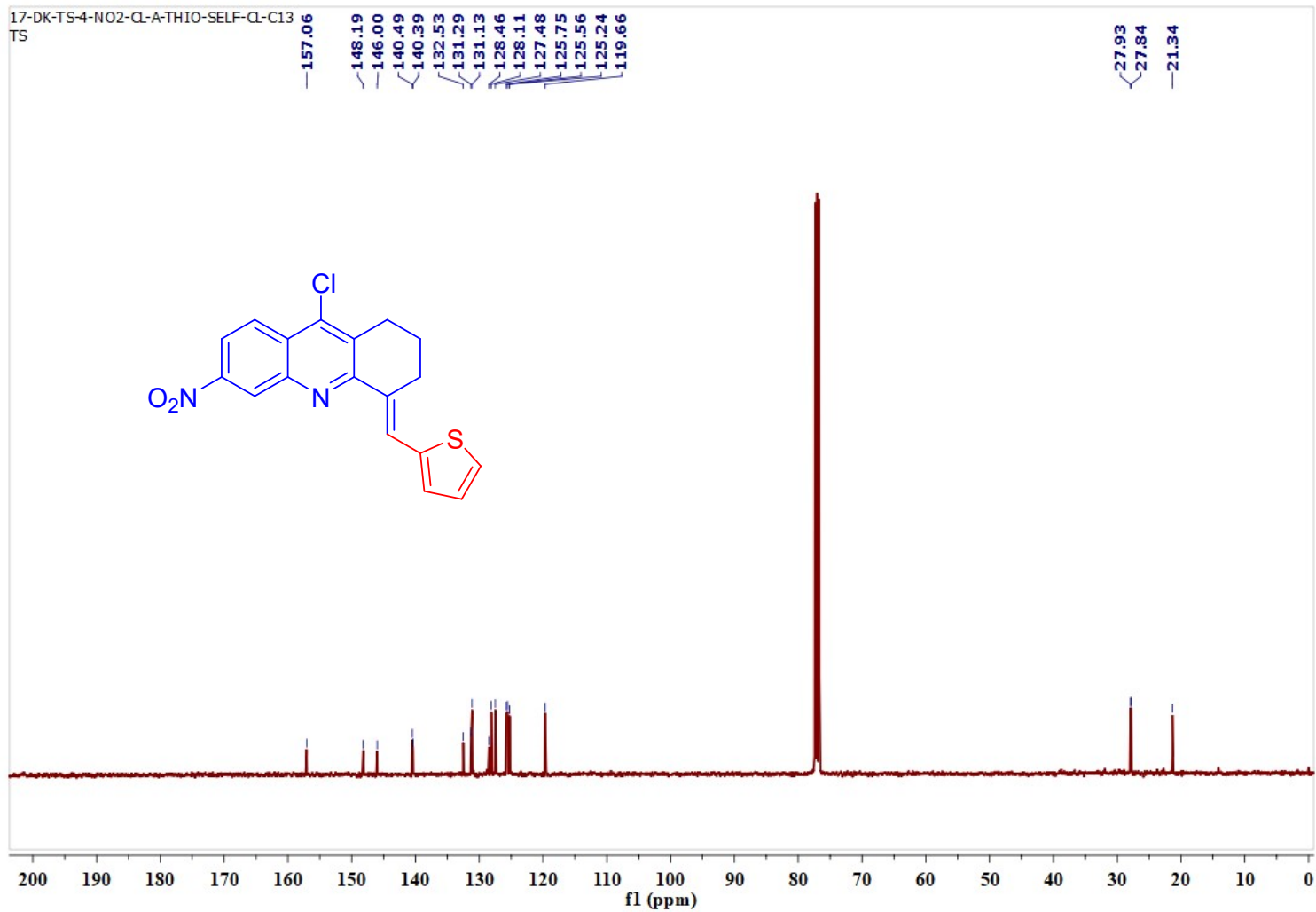


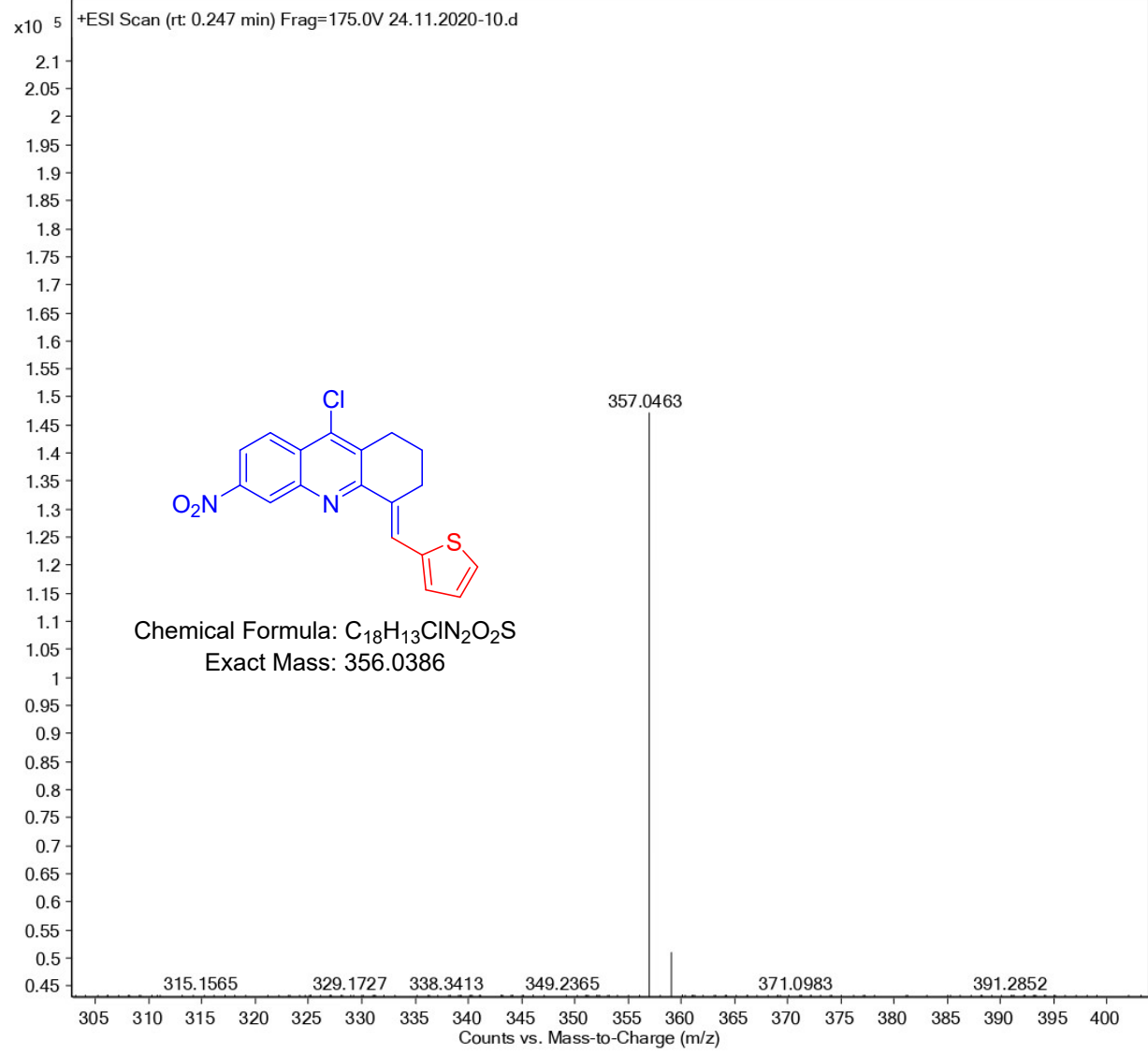
S112



*(E)*-9-Chloro-6-nitro-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridine (*5ae*):

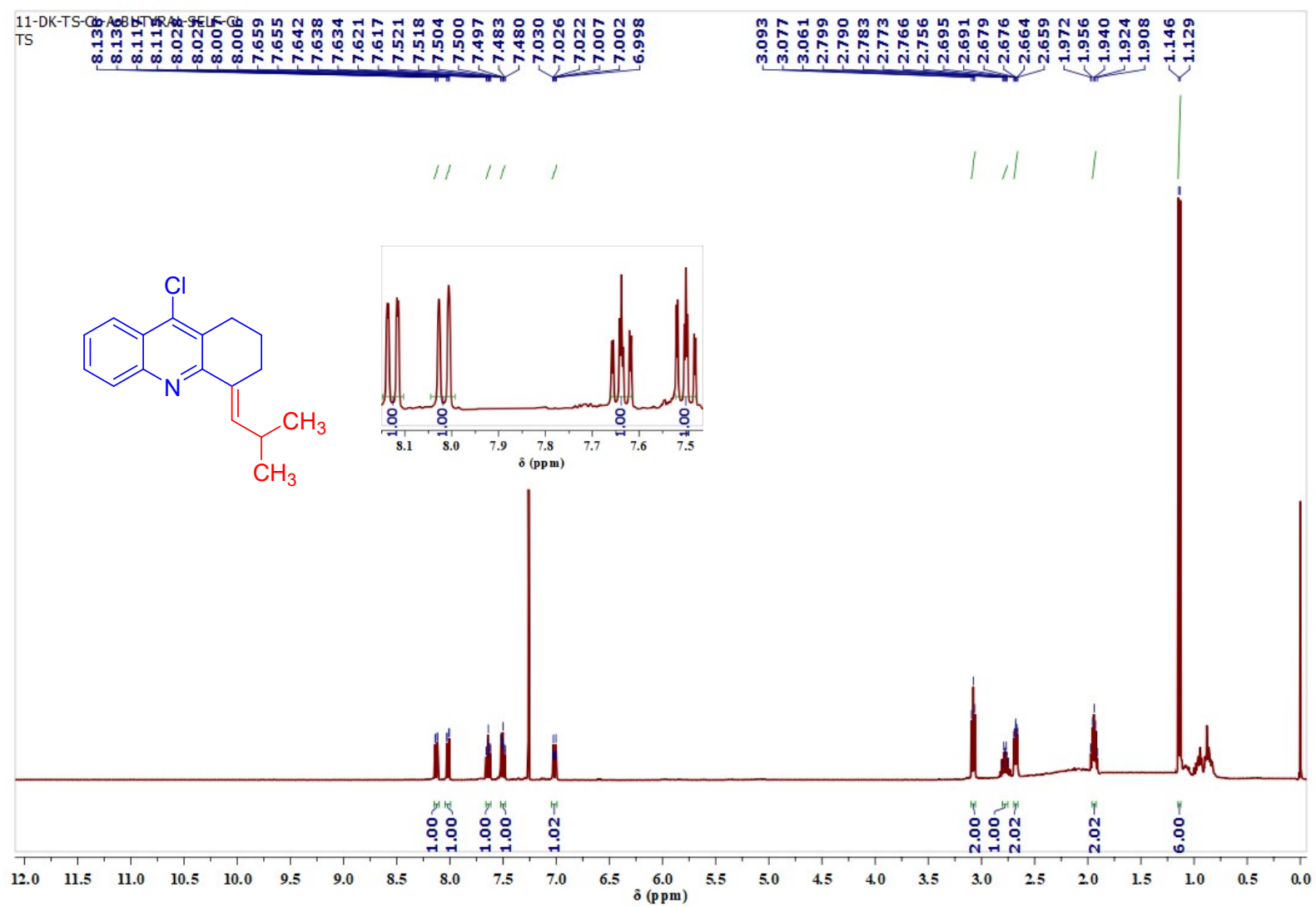


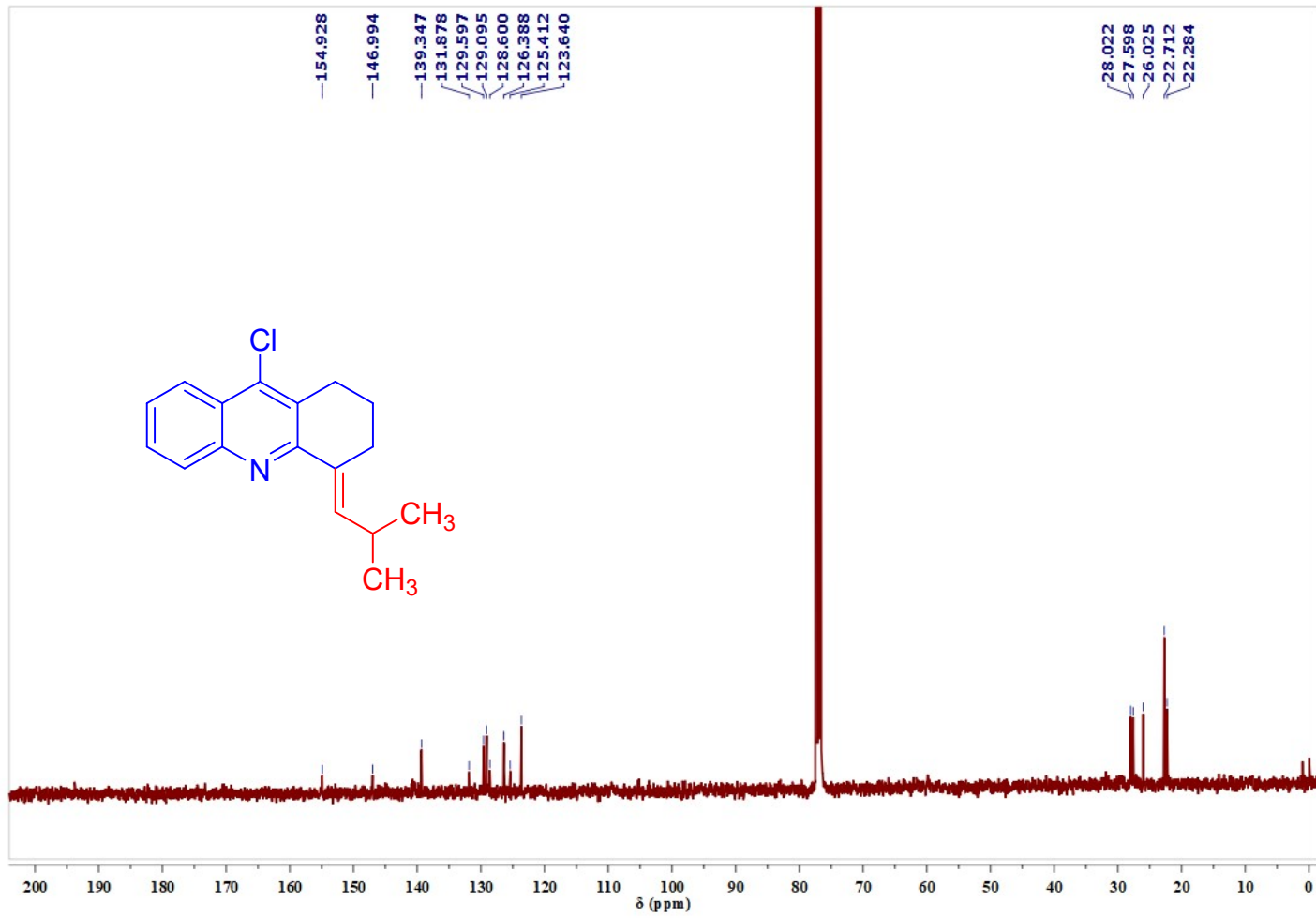


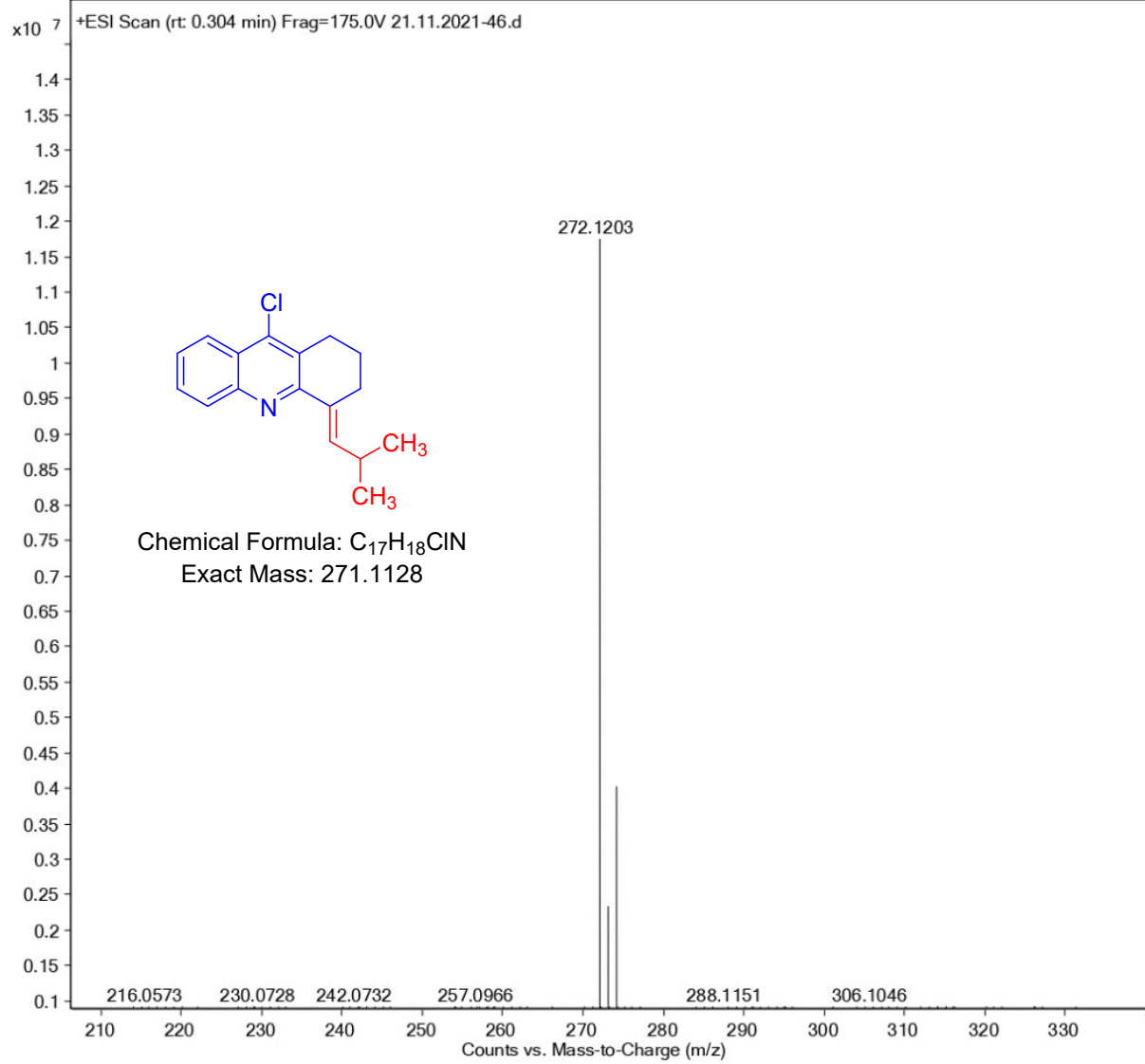




*(E)*-9-Chloro-4-(2-methylpropylidene)-1,2,3,4-tetrahydroacridine (5af):

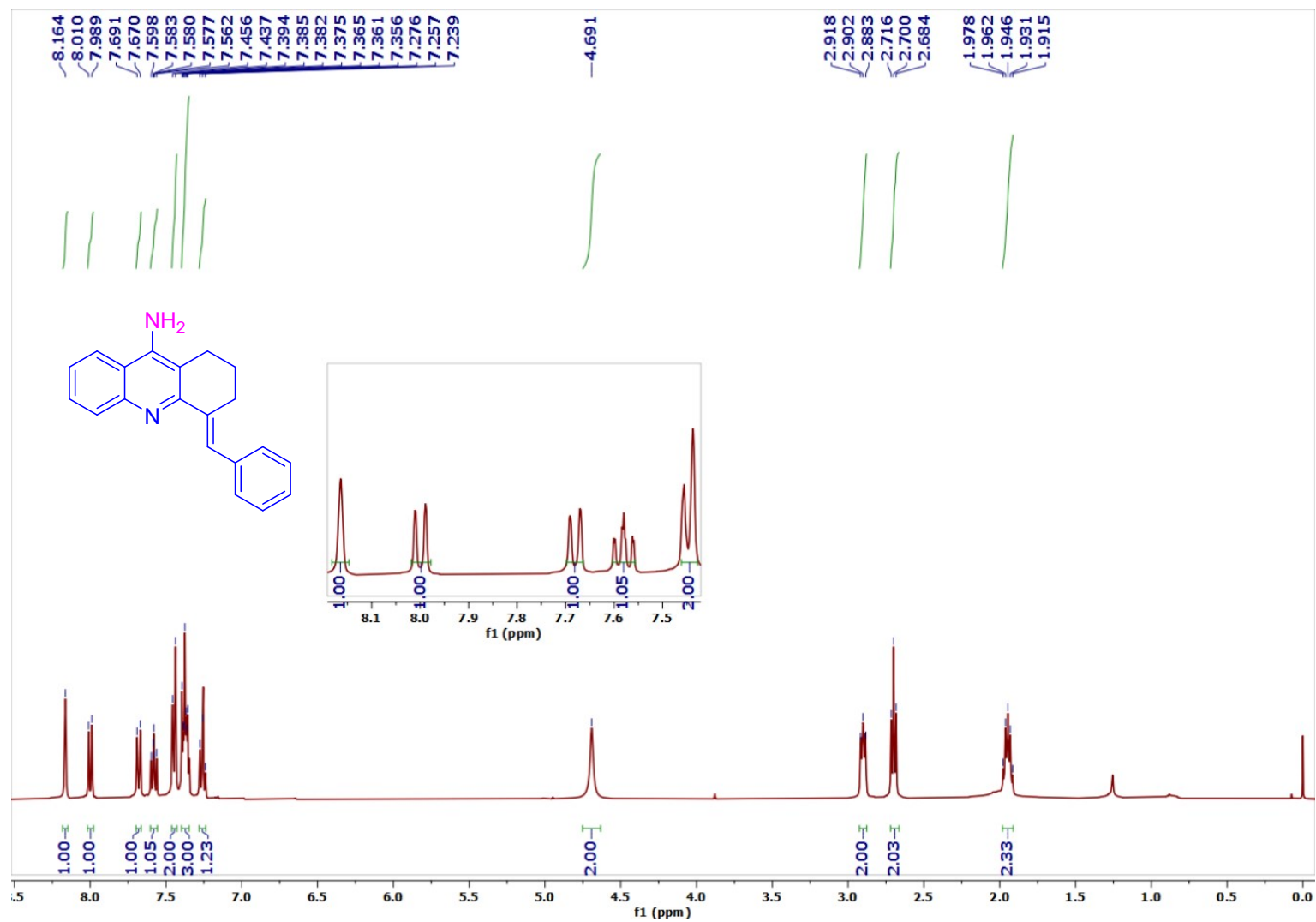


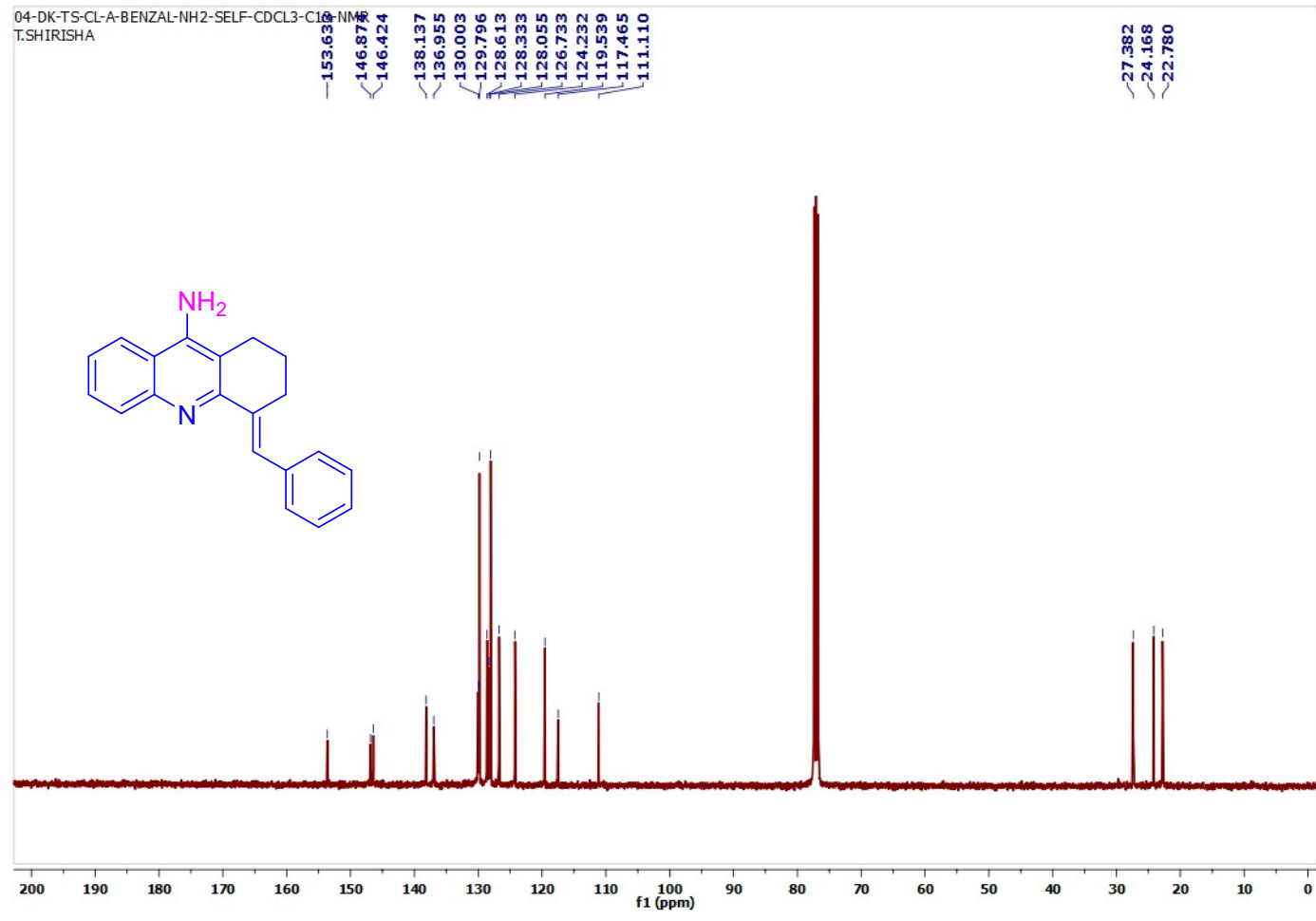


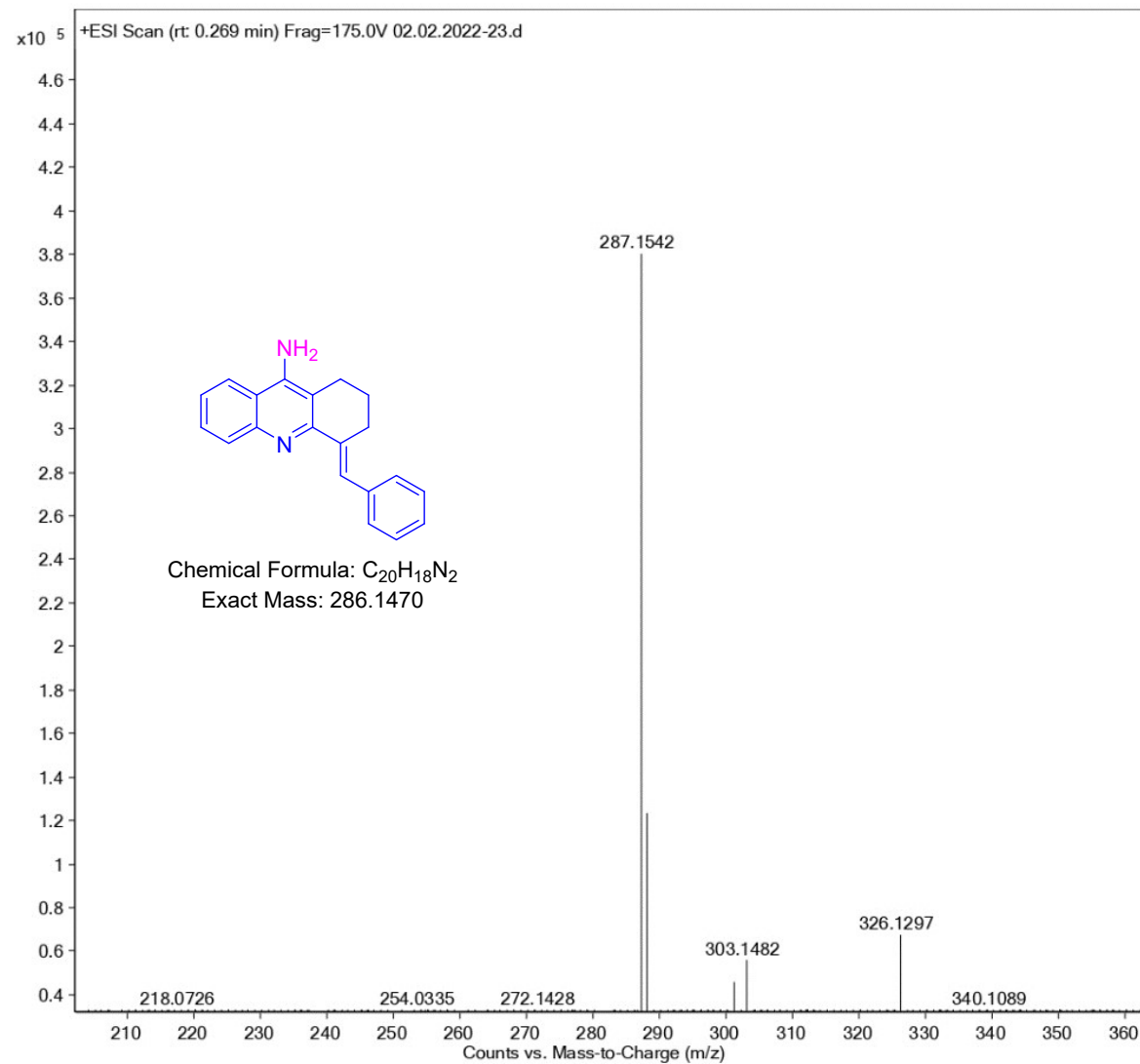


S119

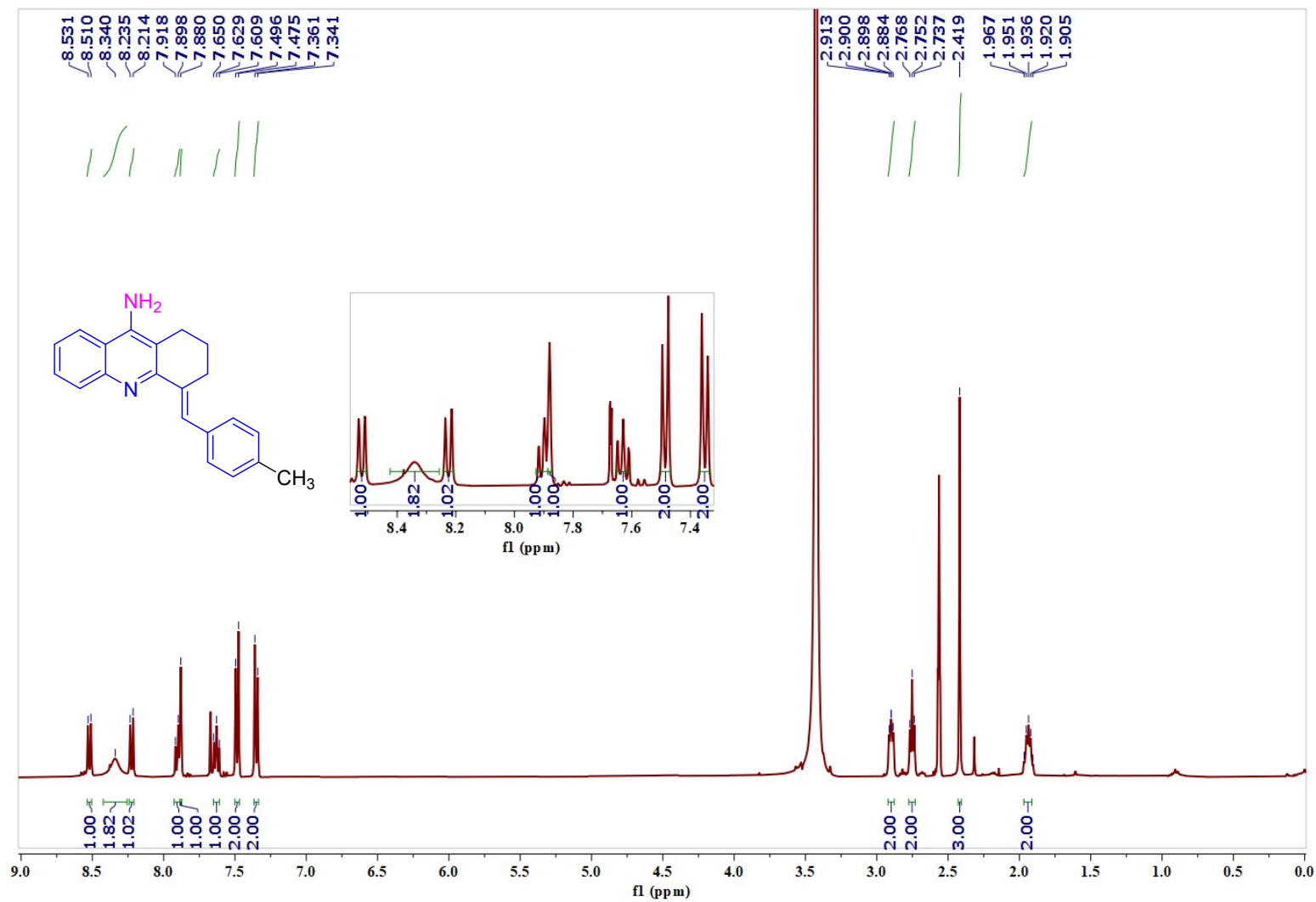
*(E)*-4-Benzylidene-1,2,3,4-tetrahydroacridin-9-amine (3a)

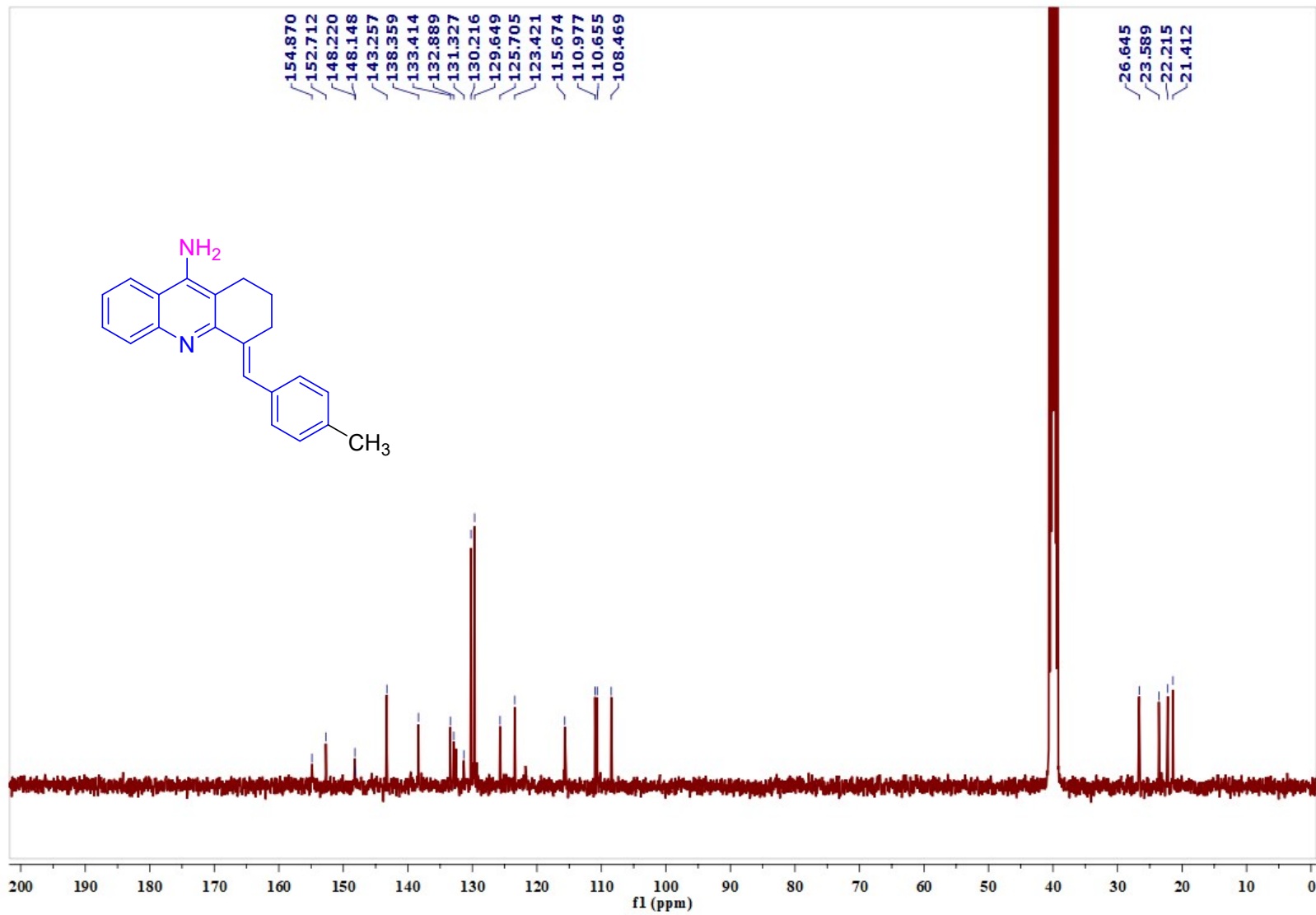




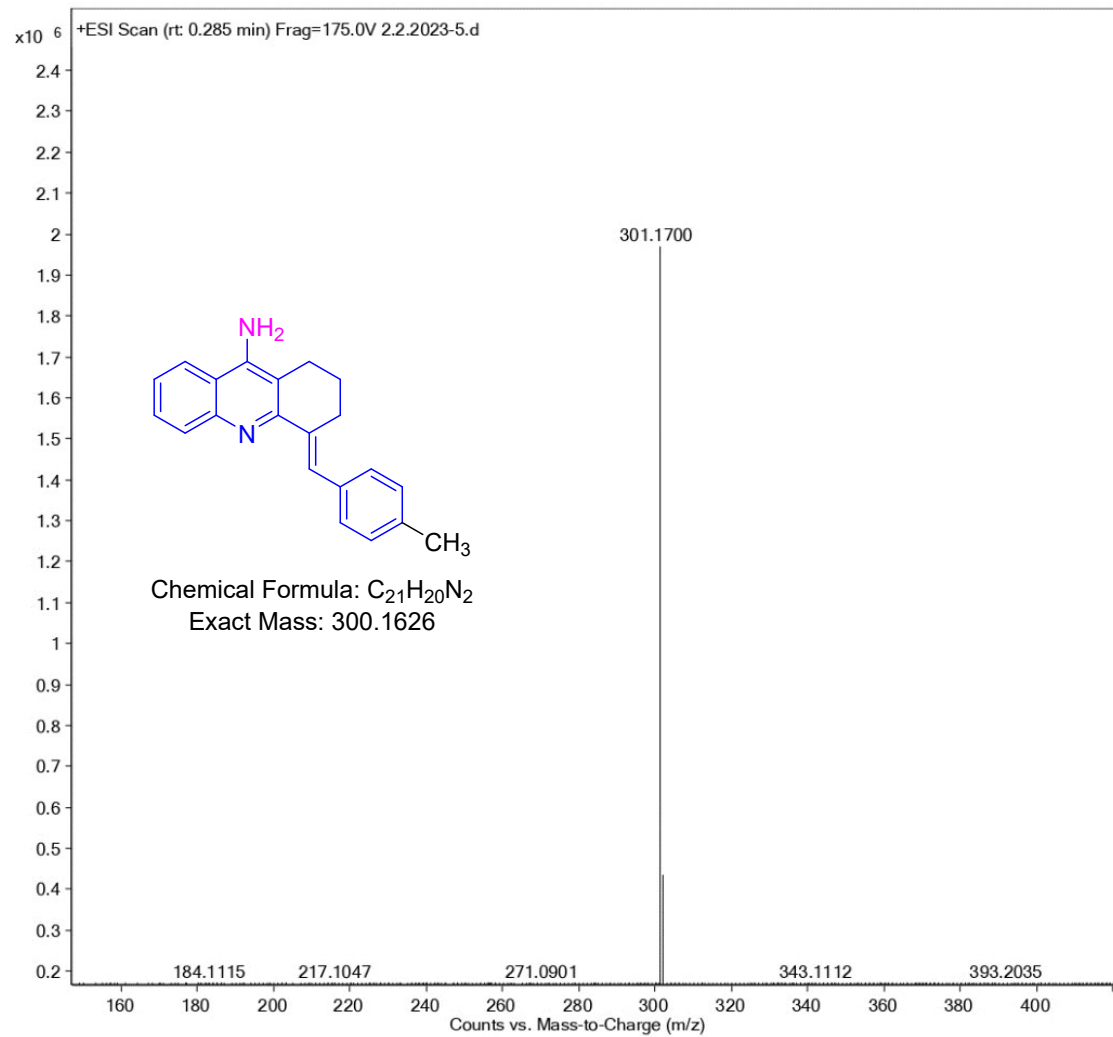


*(E)*-4-(4-Methylbenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (3b)

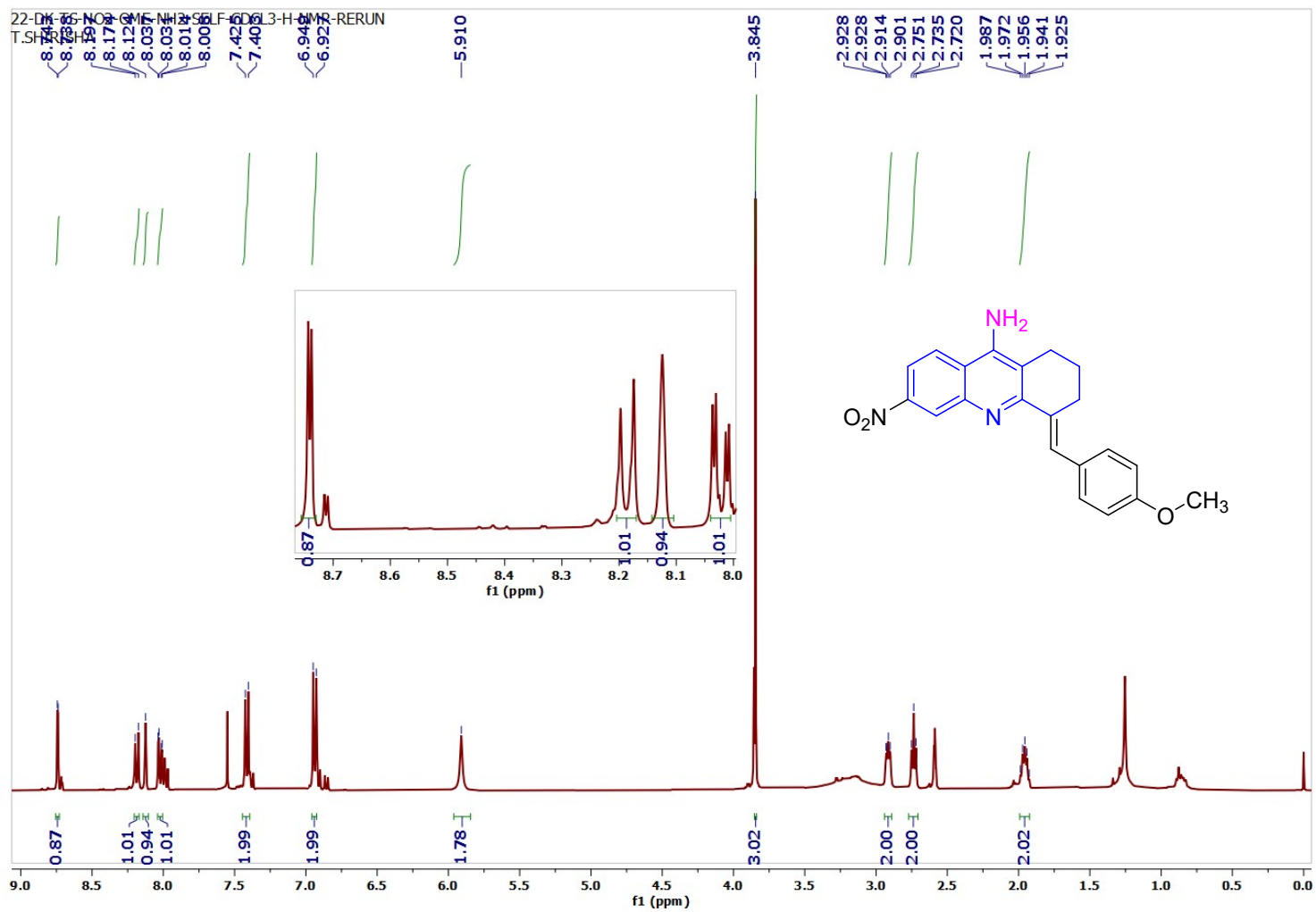


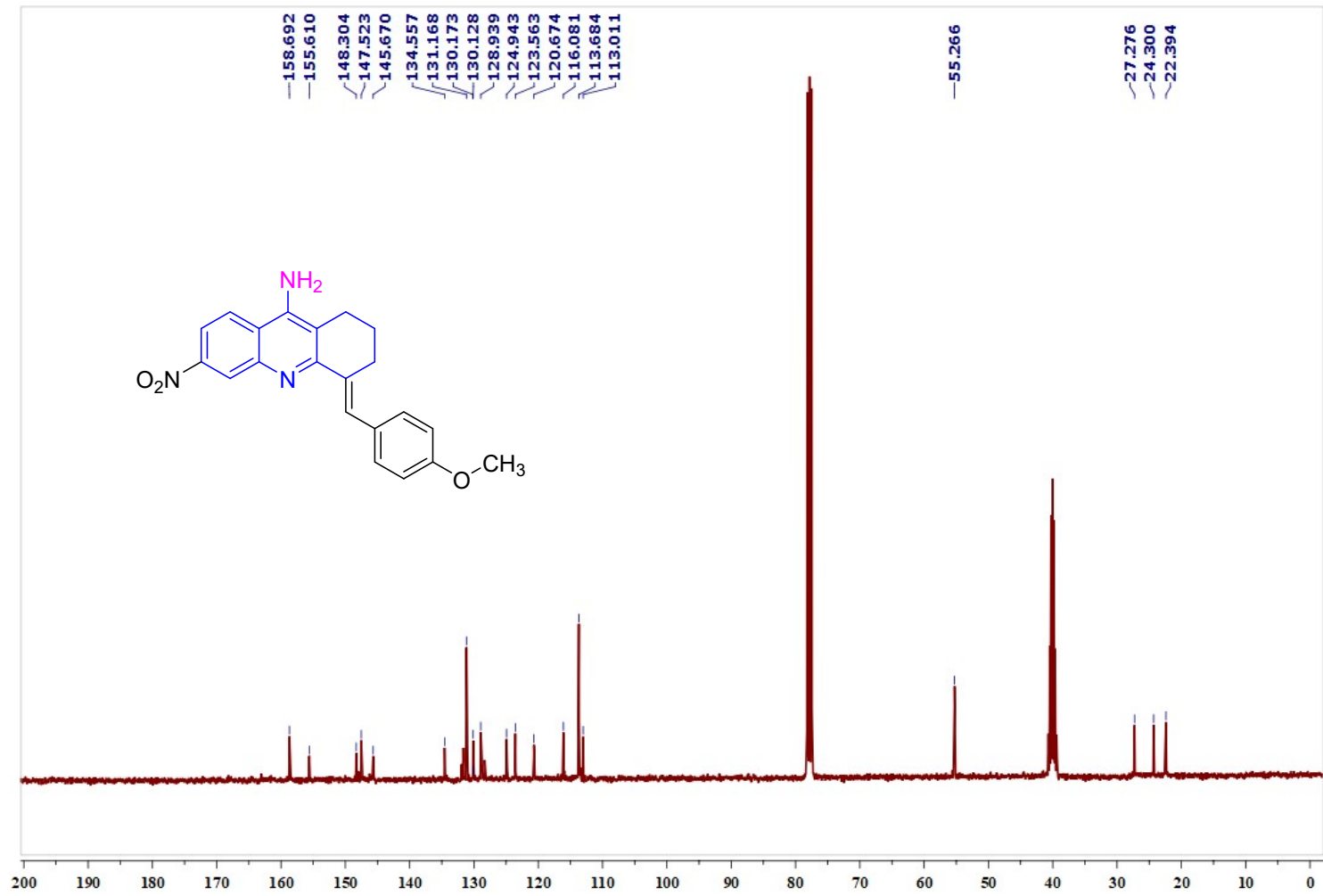


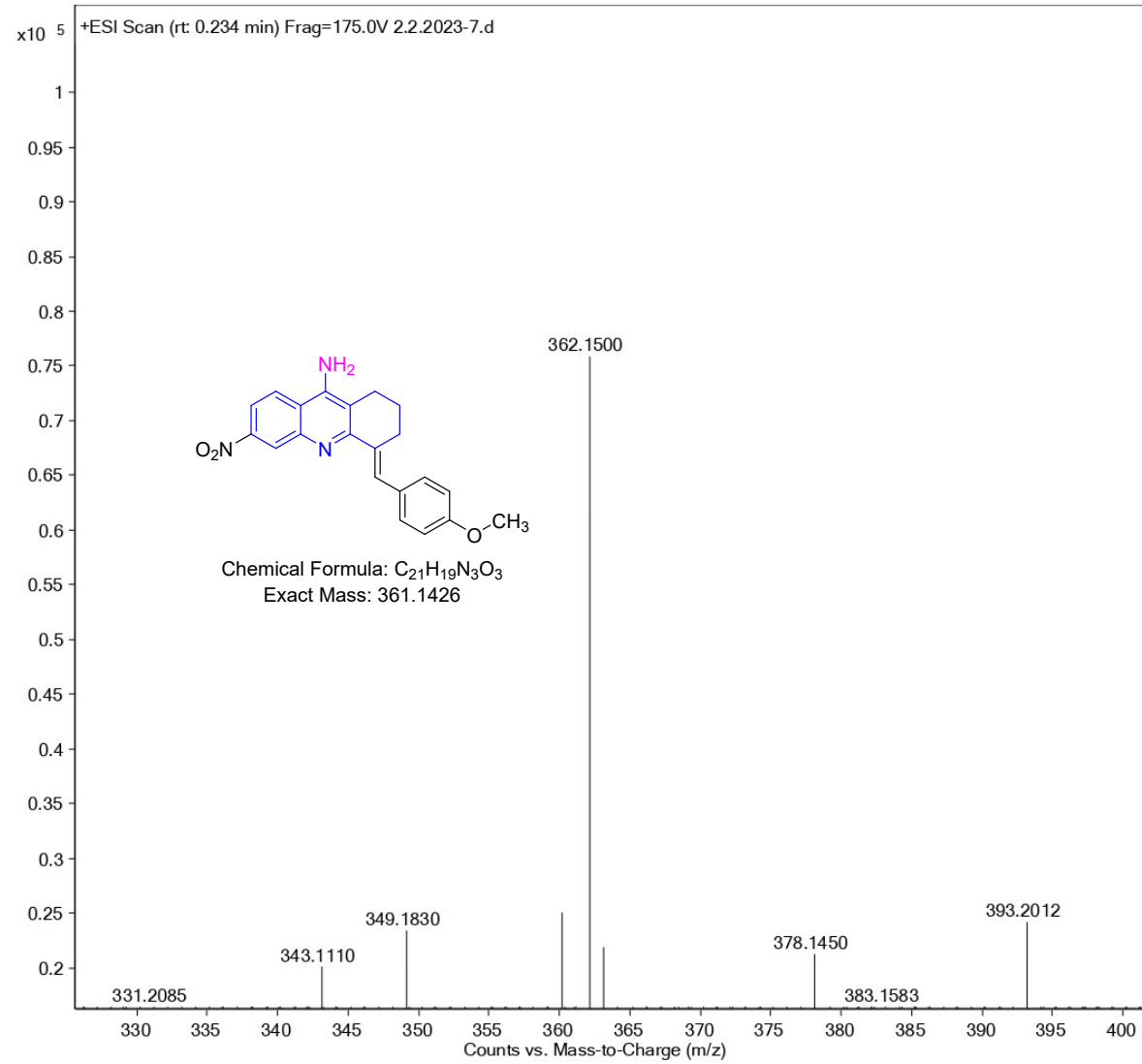




*(E)*-4-(4-Methoxybenzylidene)-6-nitro-1,2,3,4-tetrahydroacridin-9-amine (3c):

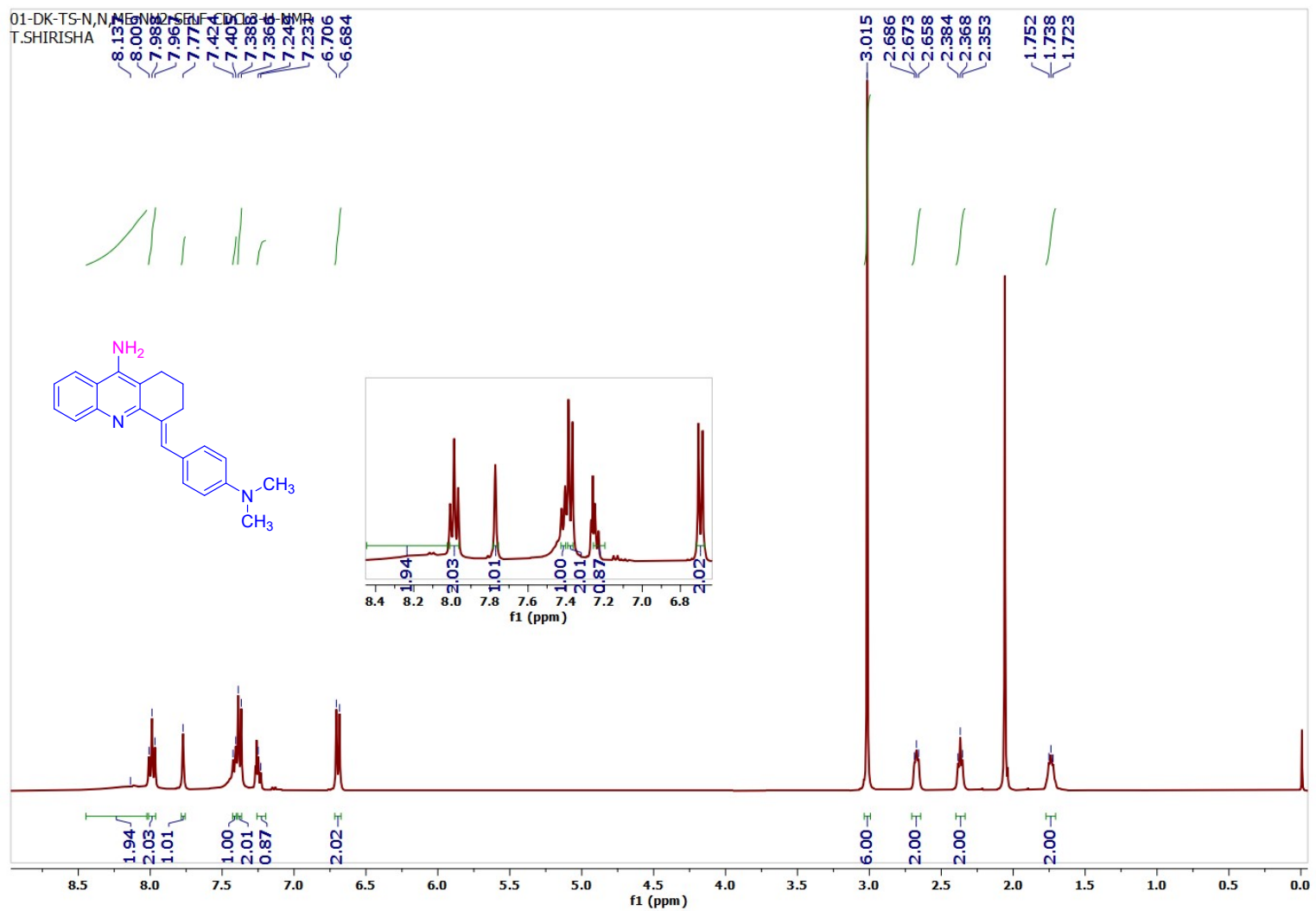


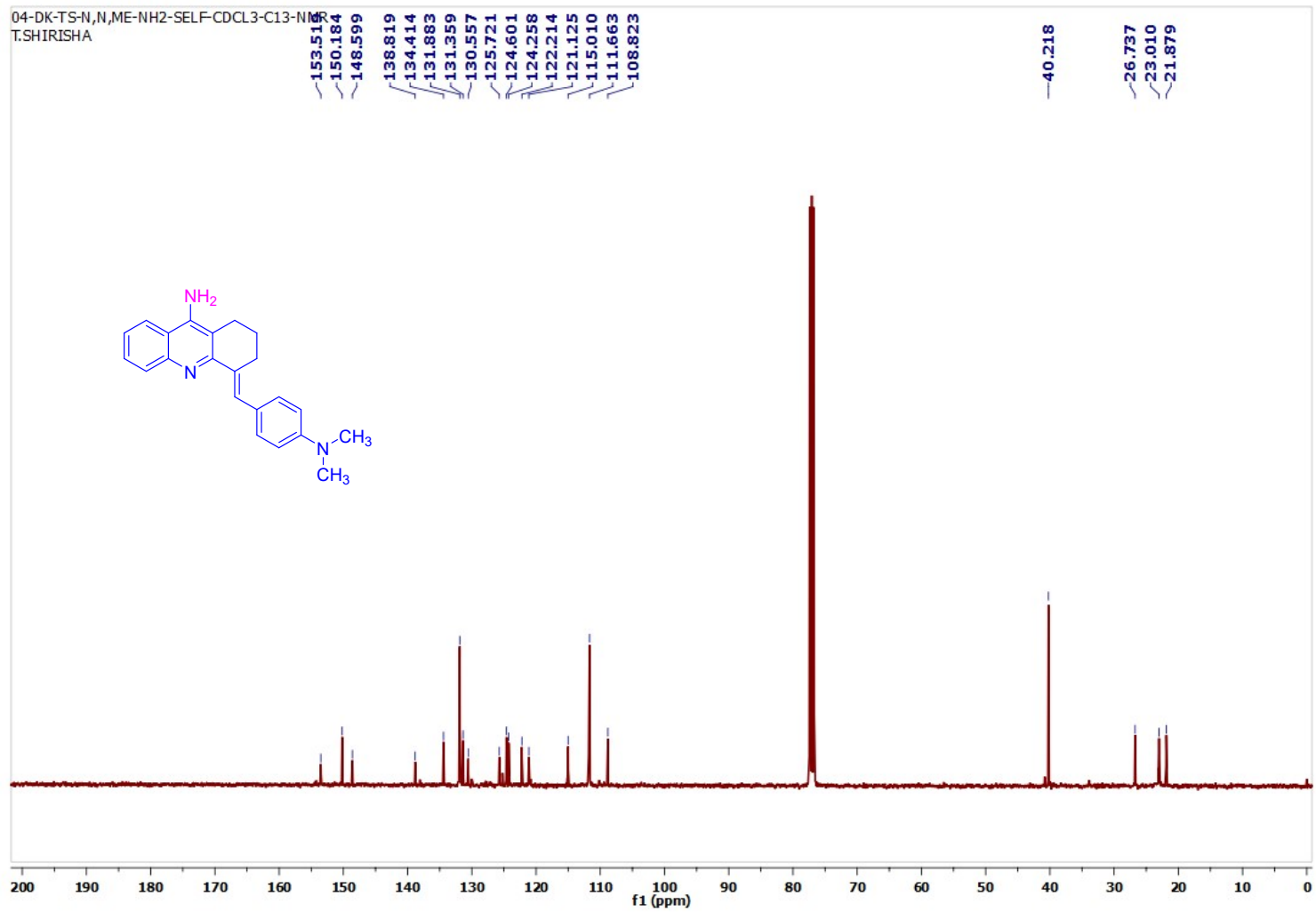


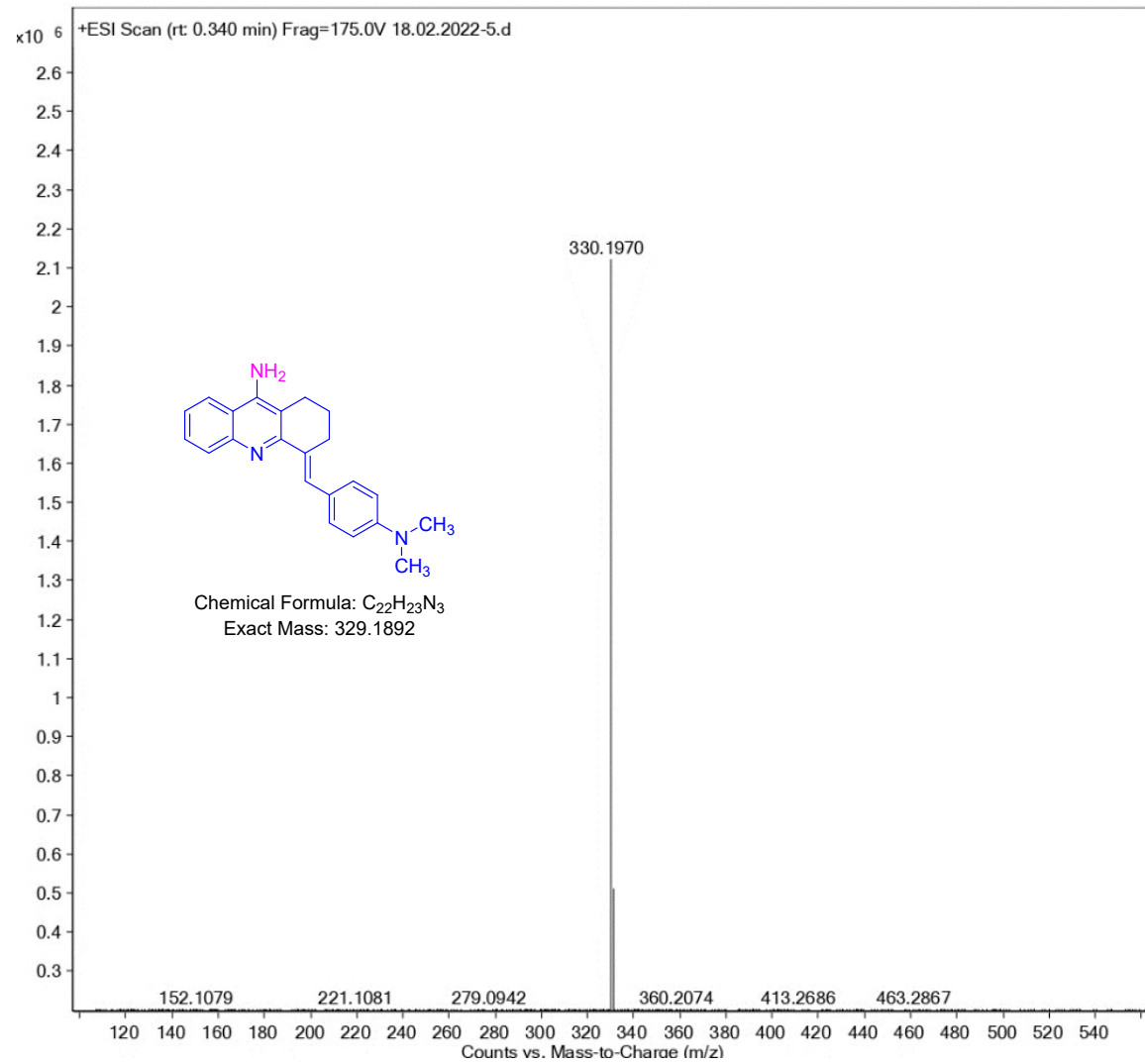


S128

*(E)*-4-(4-(Dimethylamino)benzylidene)-1,2,3,4-tetrahydroacridin-9-amine (3d)

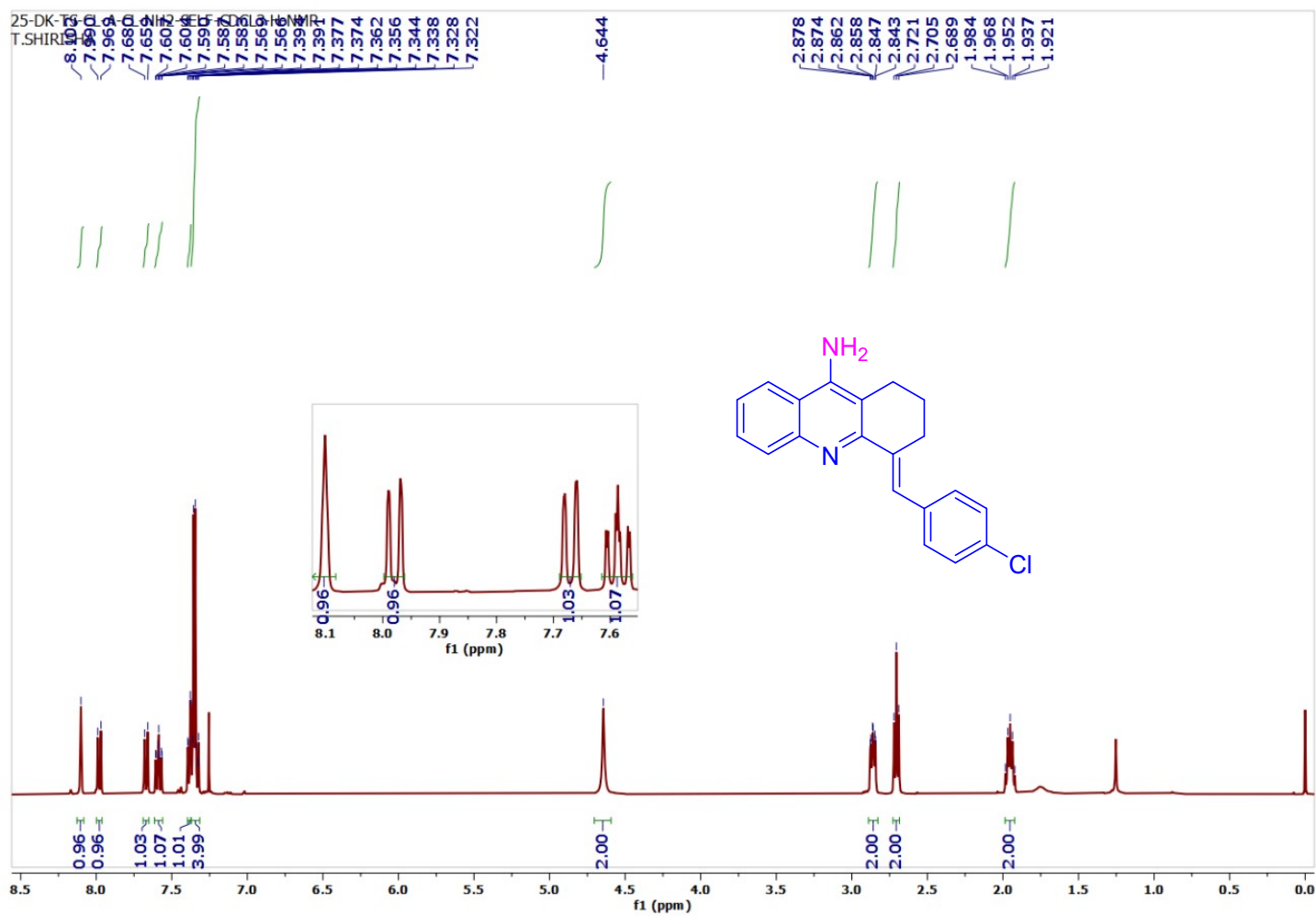






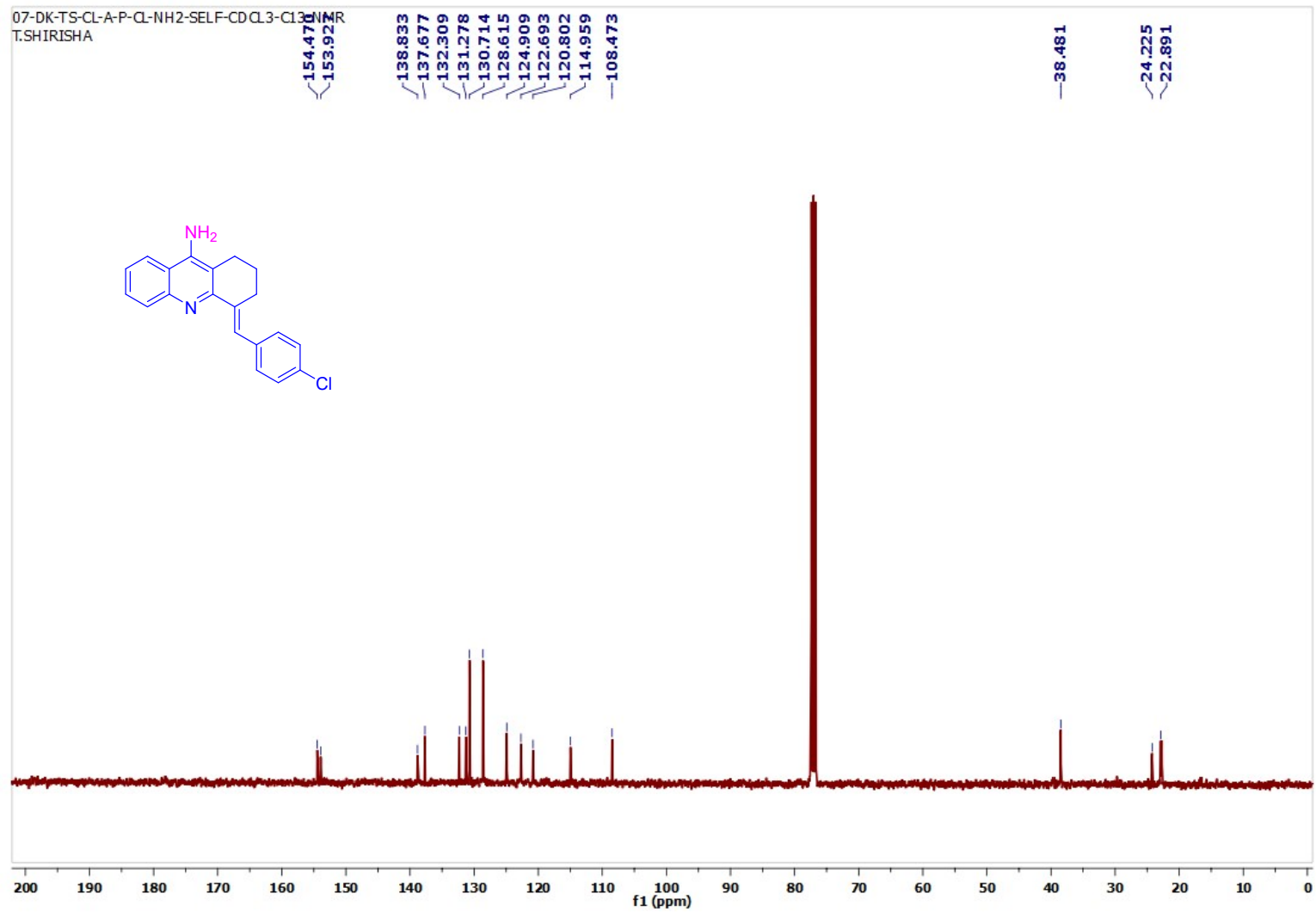
S131

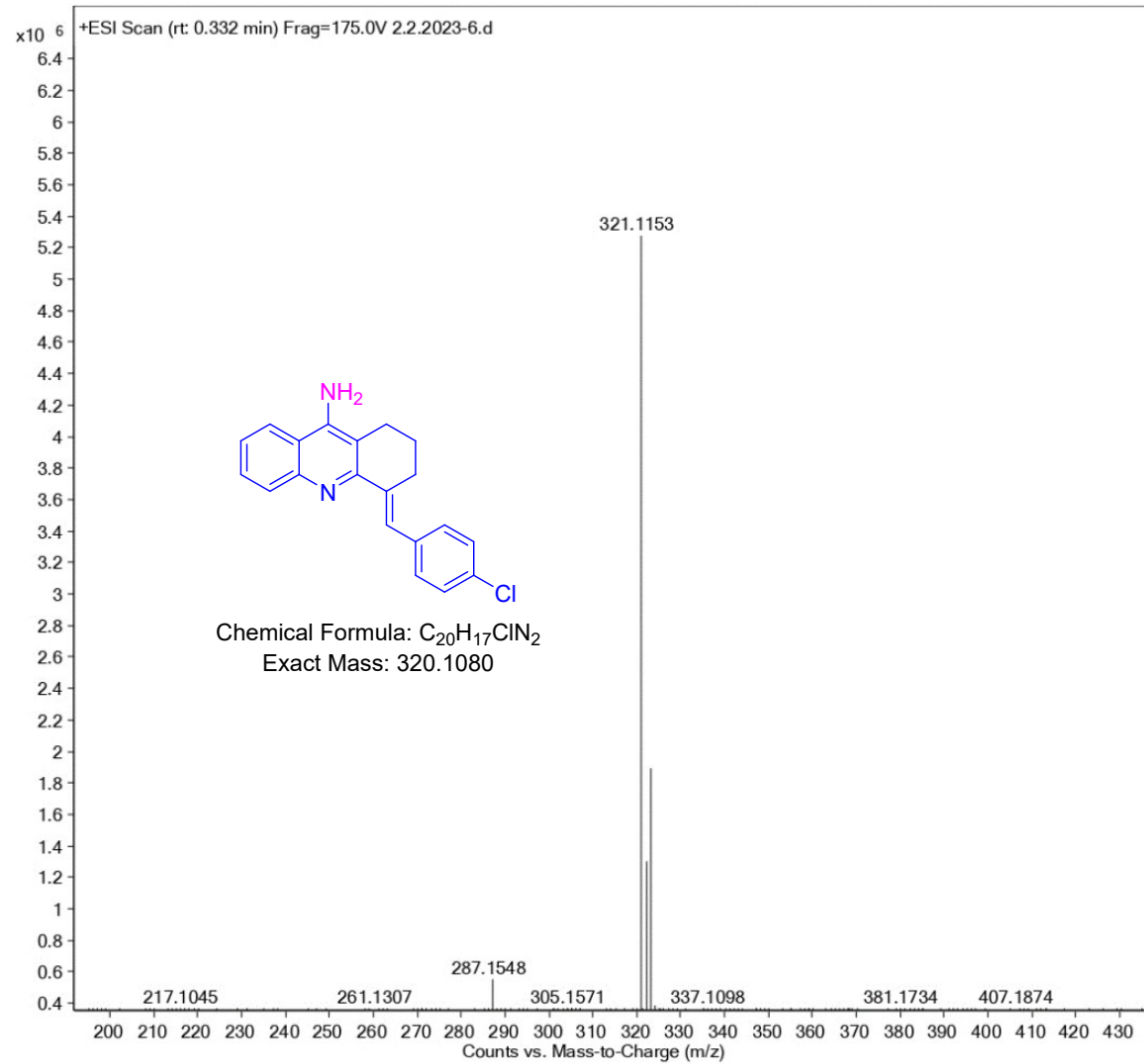
*(E)*-4-(4-Chlorobenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (3e)



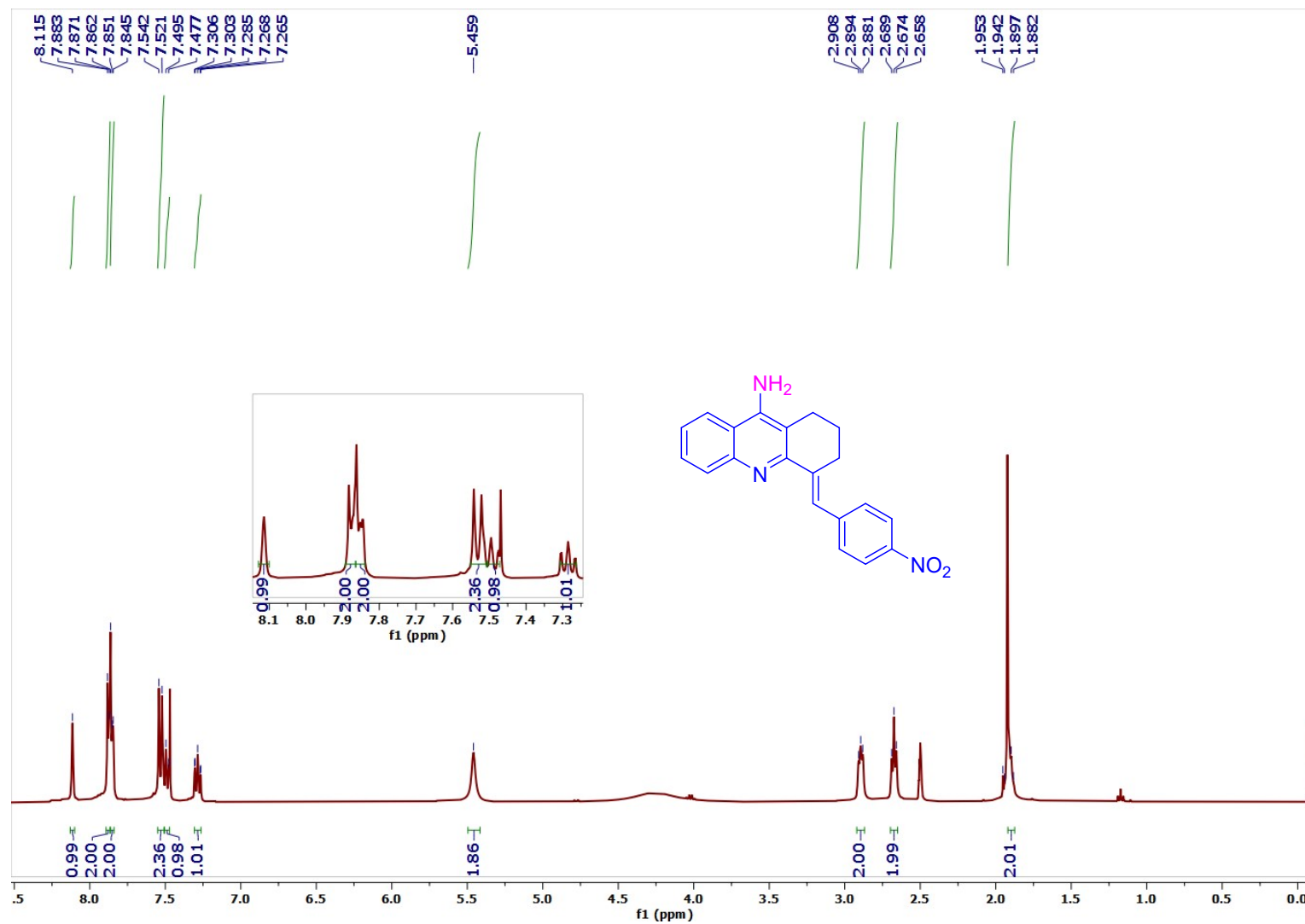


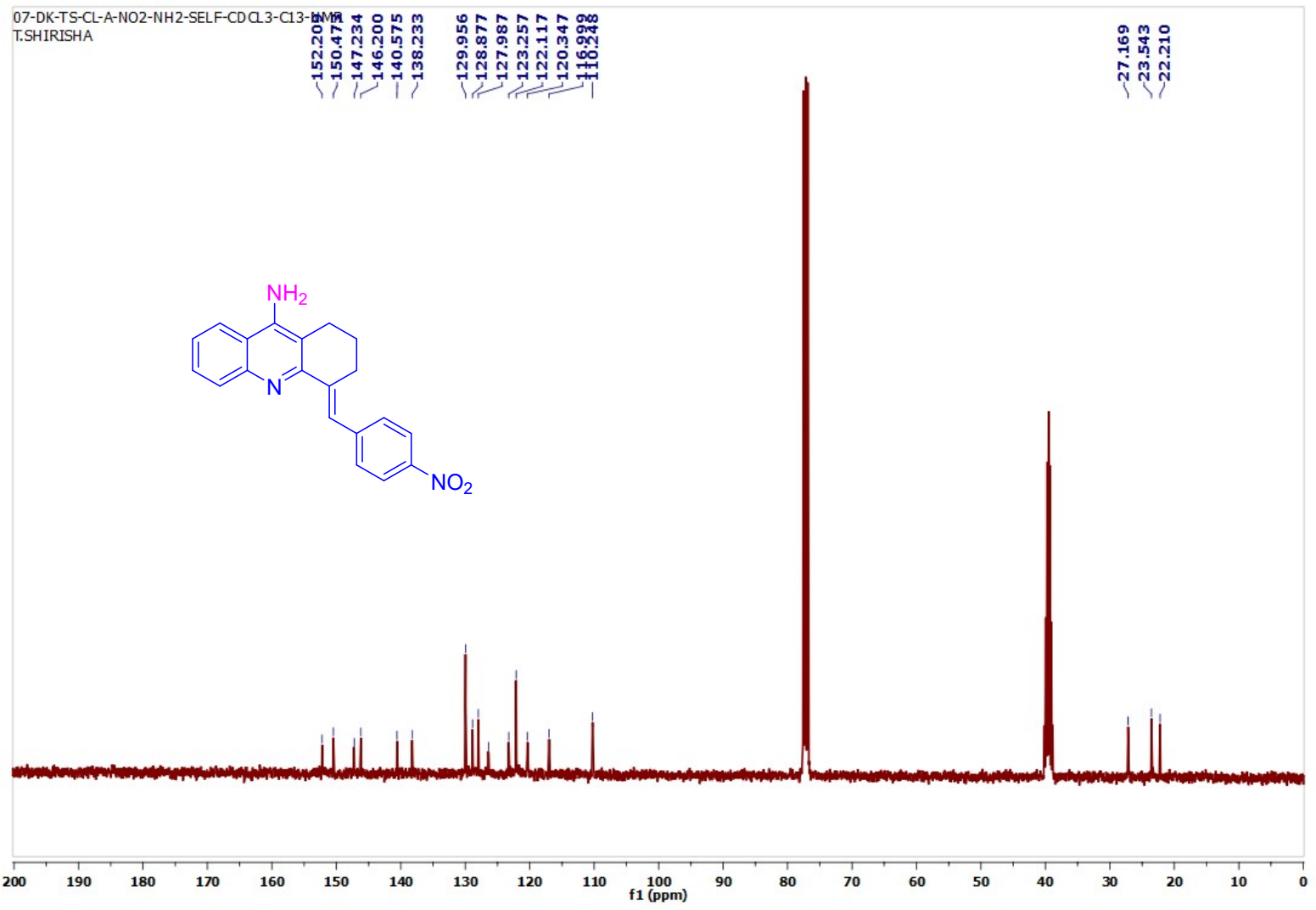
07-DK-TS-CL-A-P-CL-NH2-SELF-CD-CL3-CL3-NMR  
T.SHIRISHA

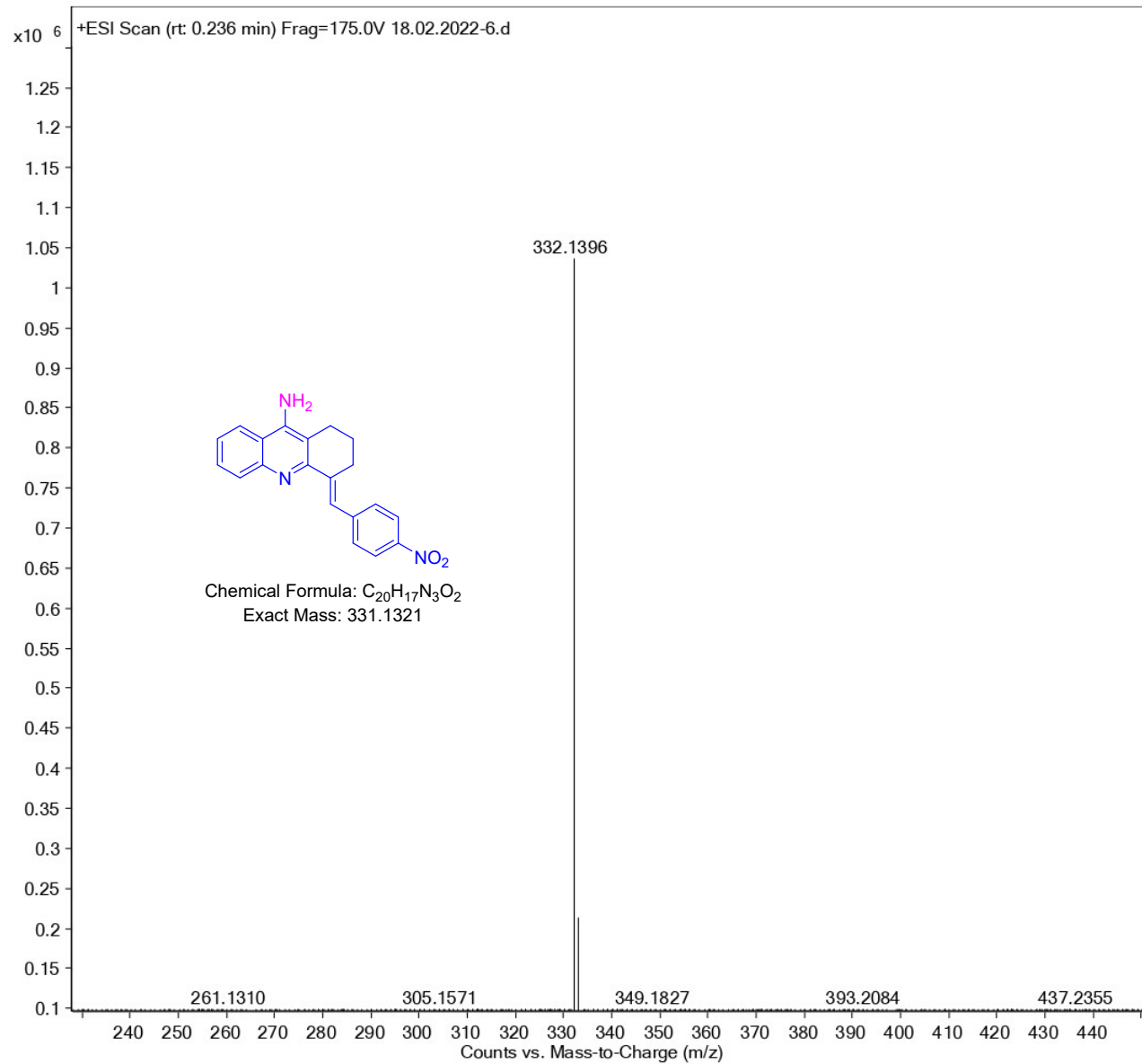




*(E)*-4-(4-Nitrobenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (3f)

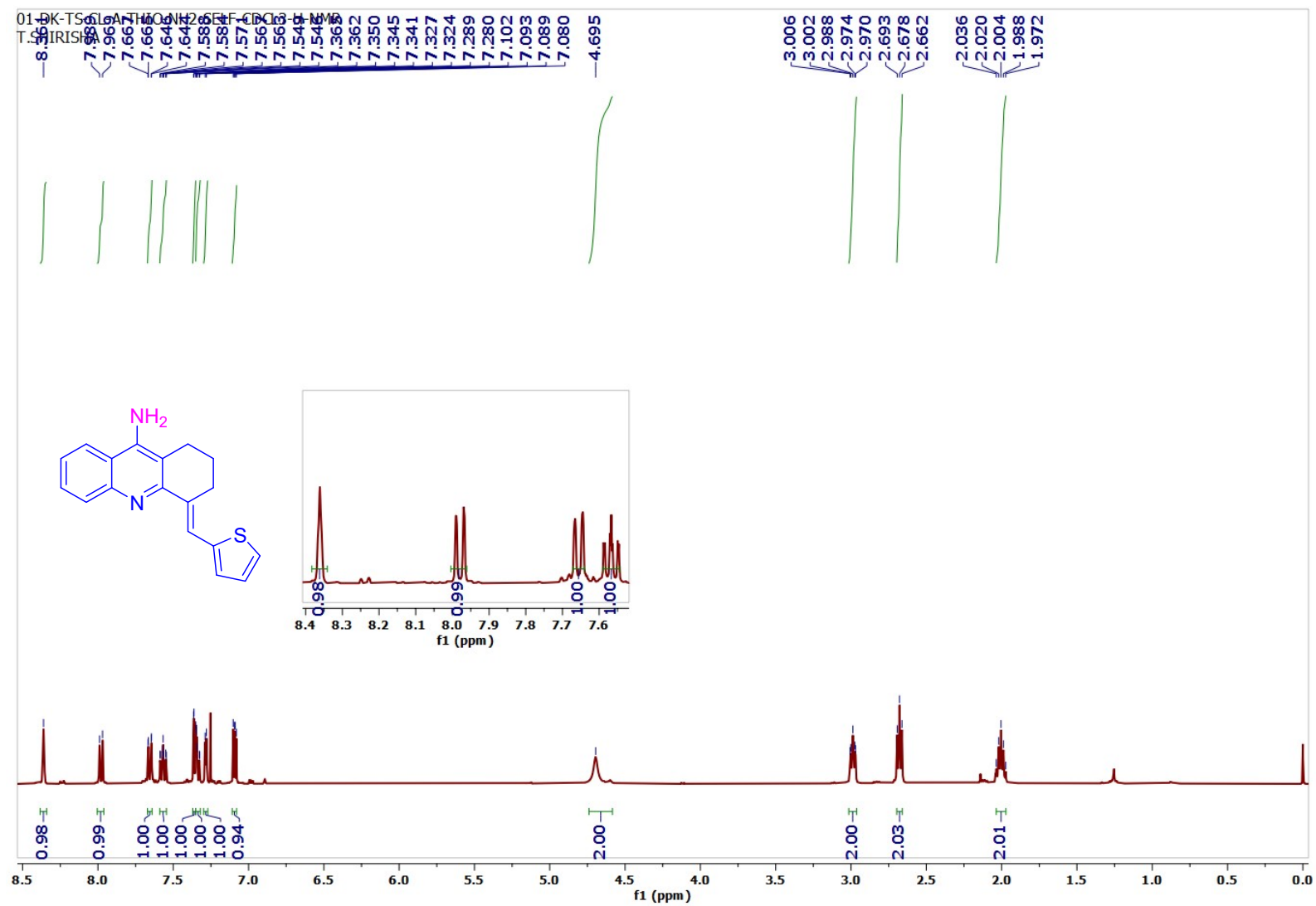


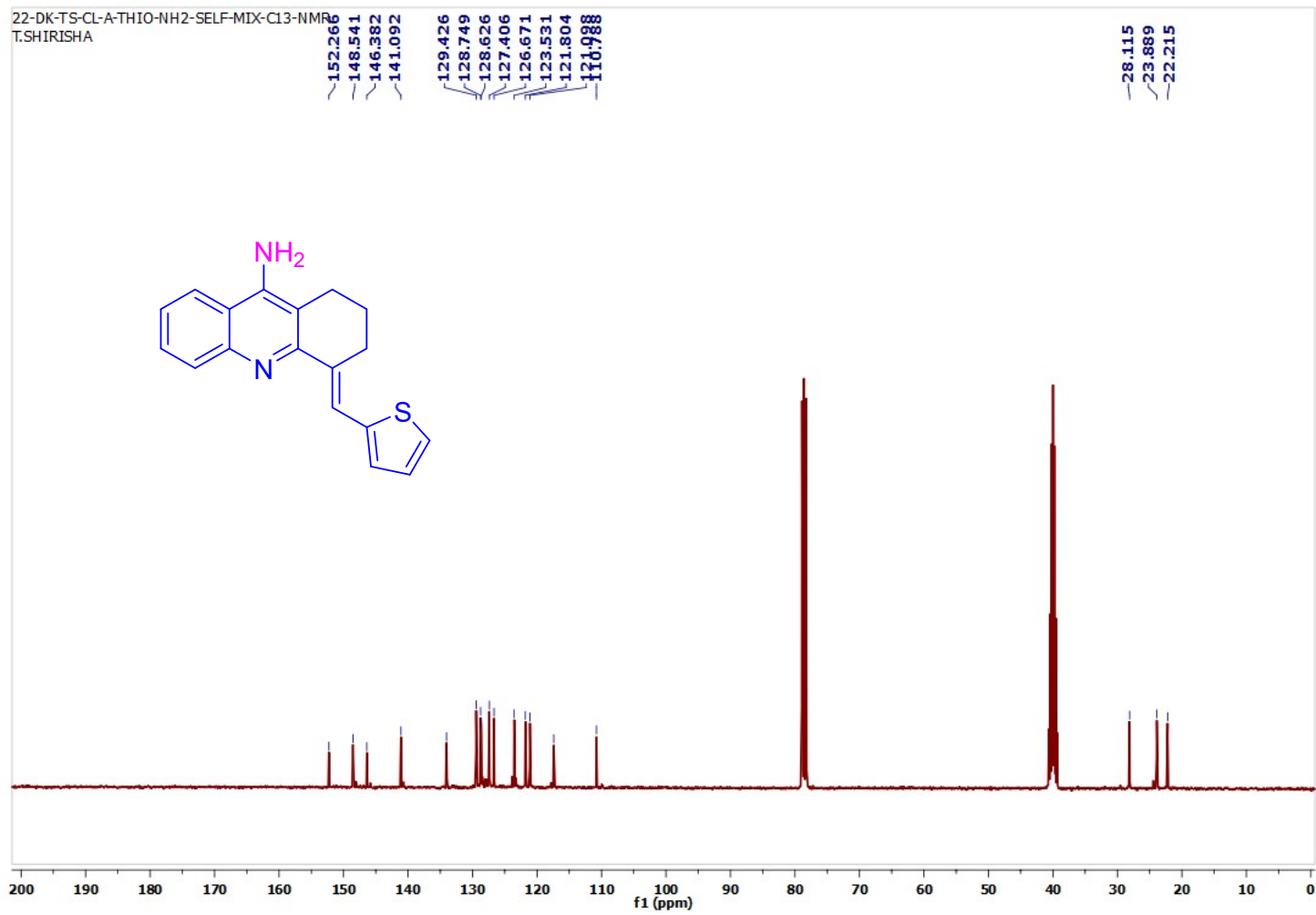


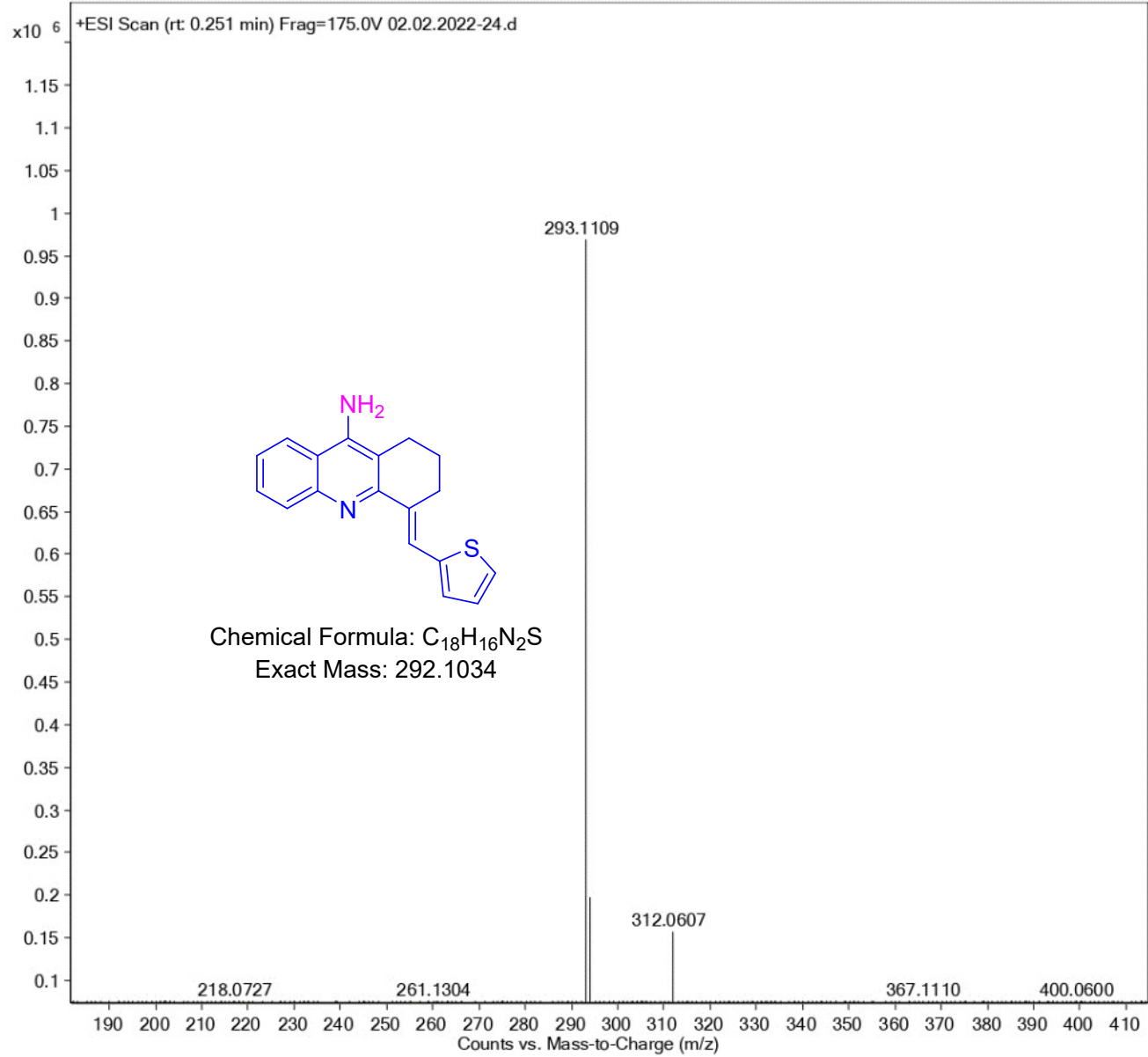


S137

*(E)*-4-(Thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridin-9-amine (3g)



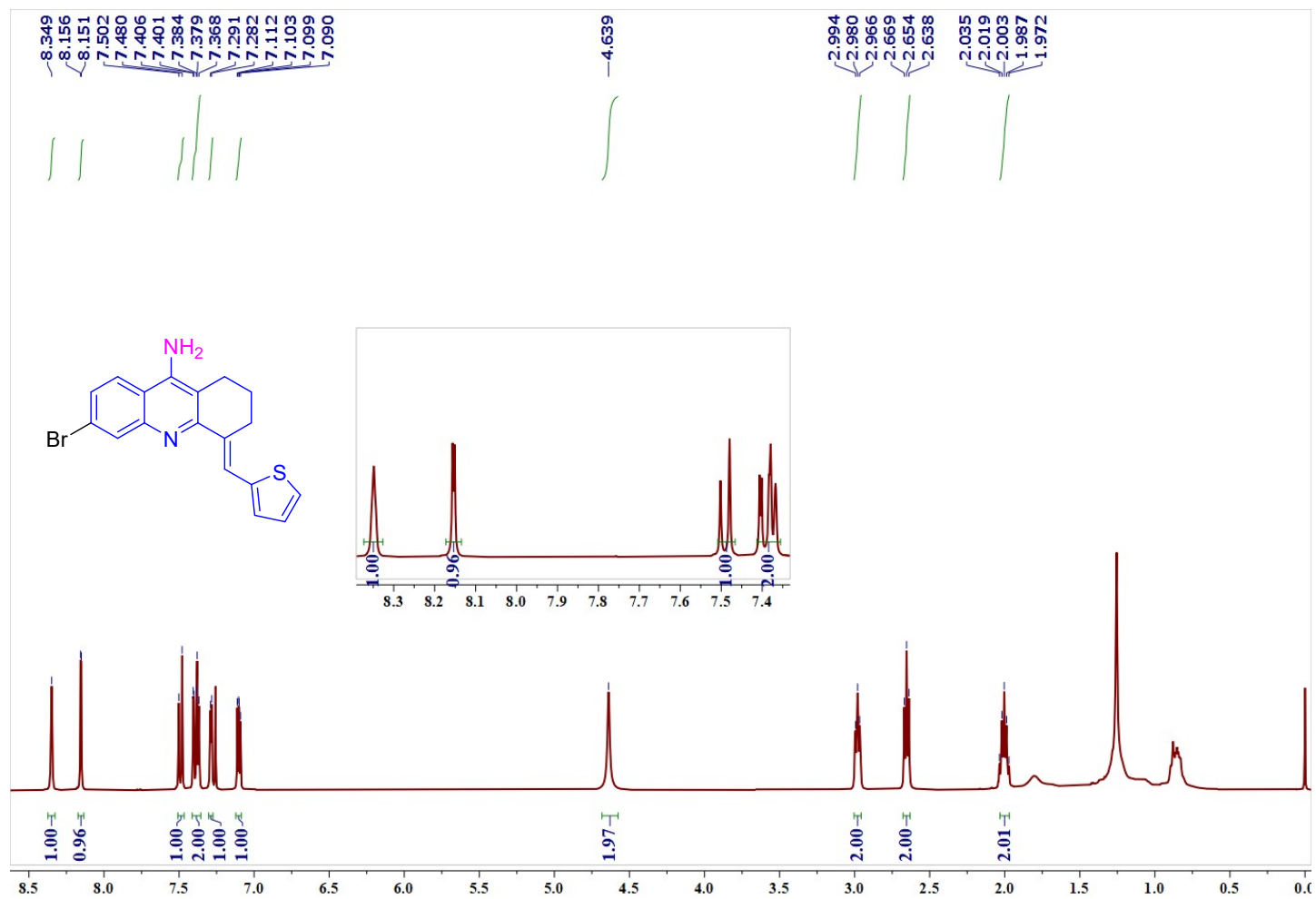


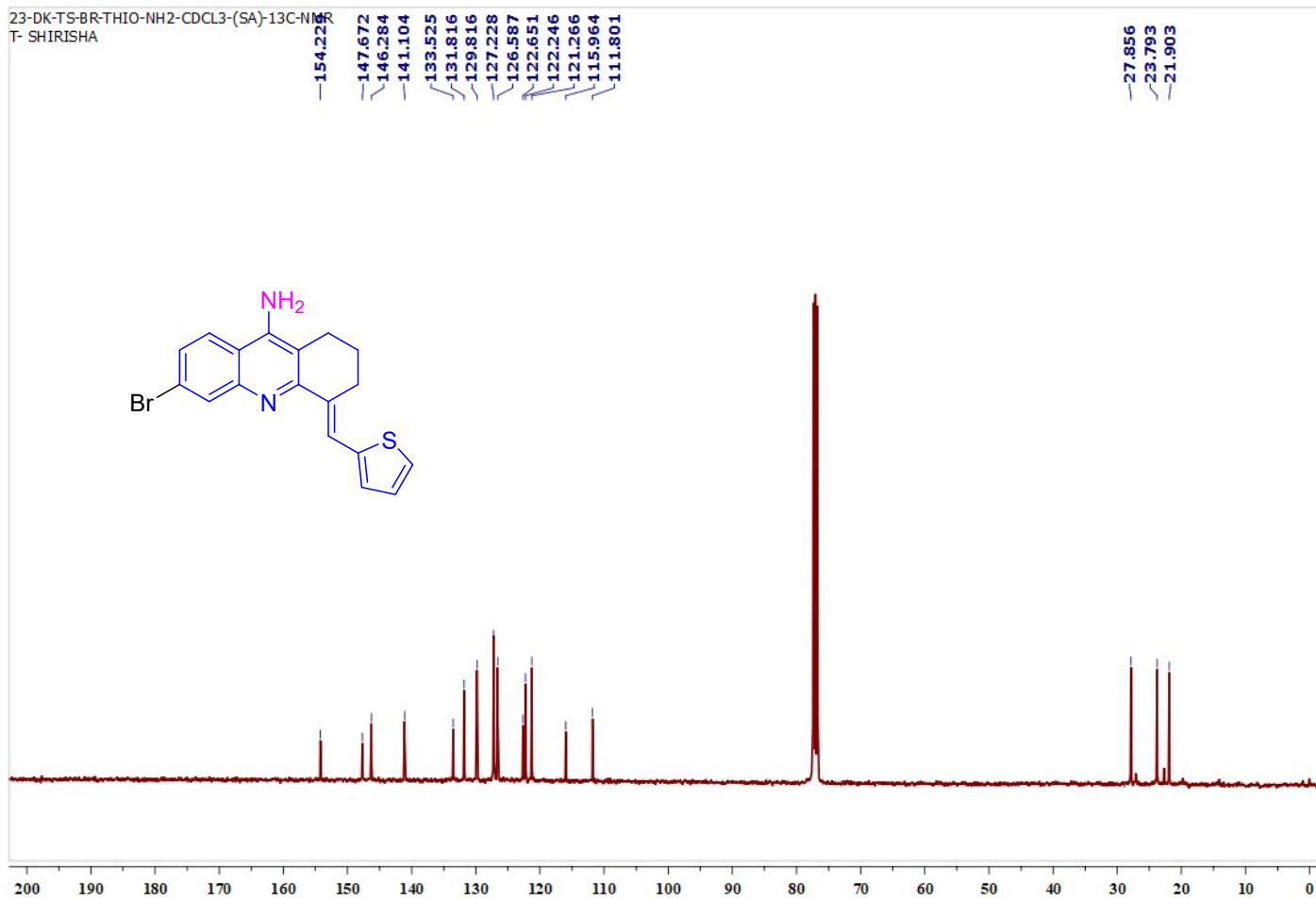


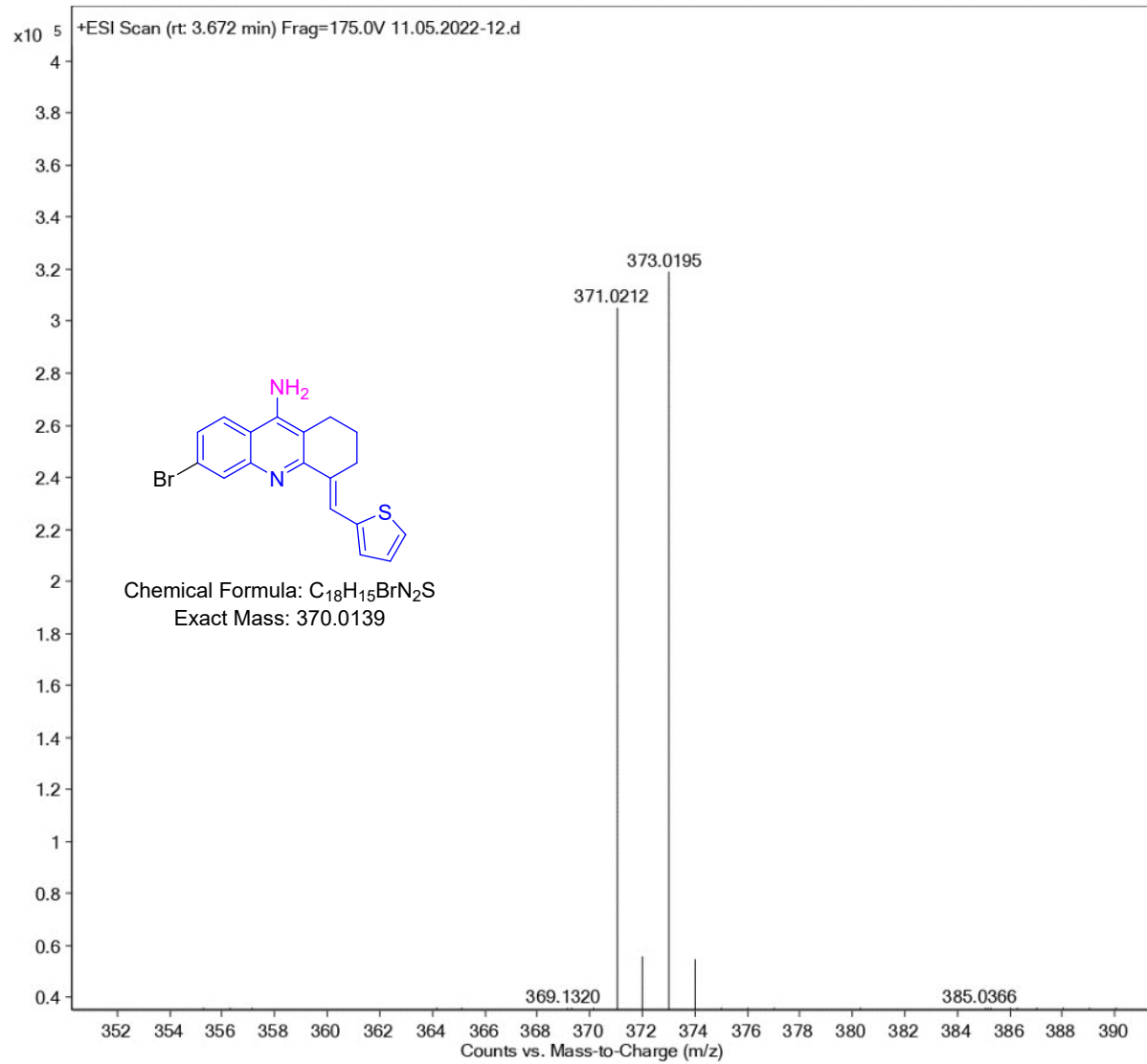
S140



***(E)*-6-Bromo-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridin-9-amine(3h)**

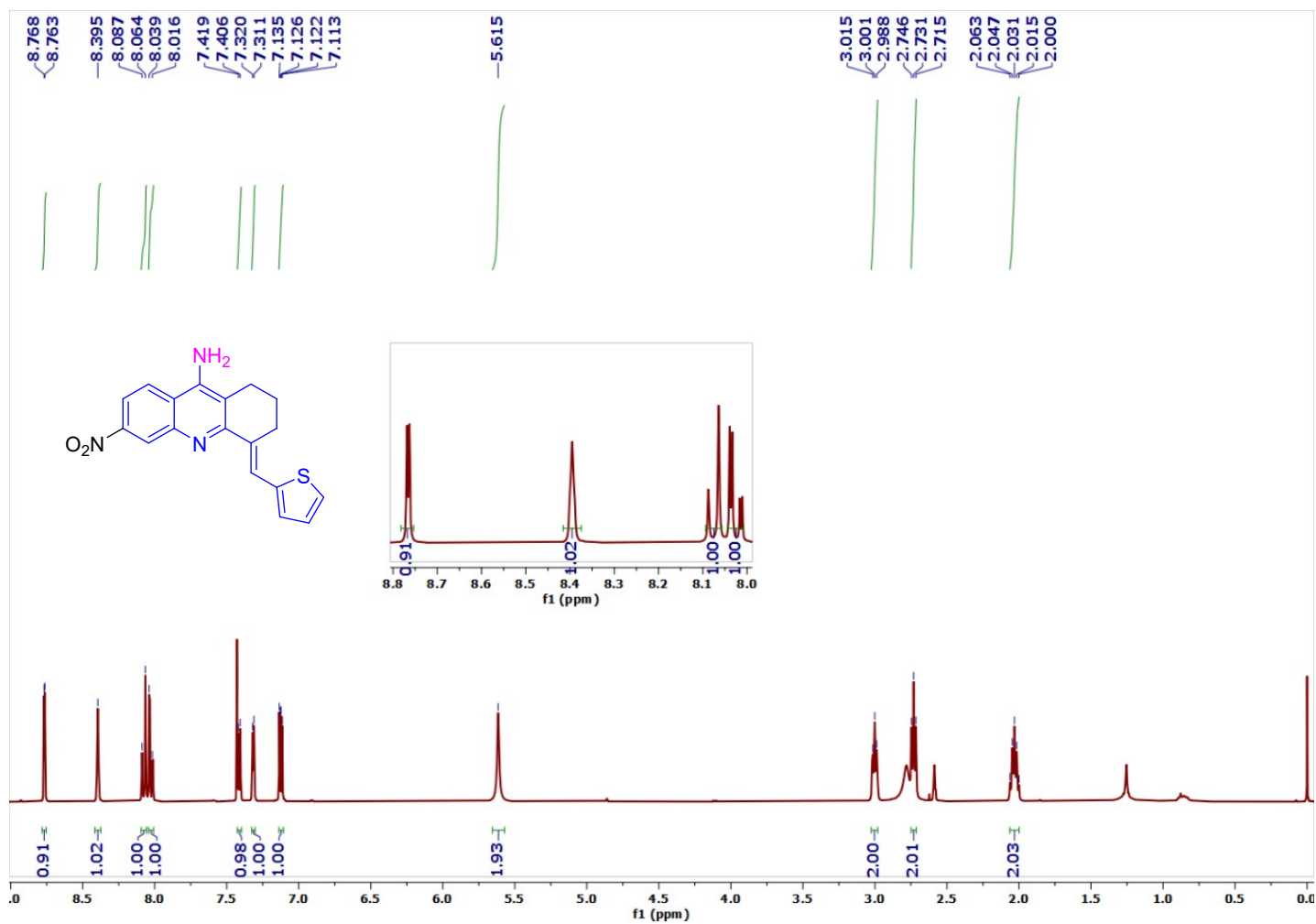


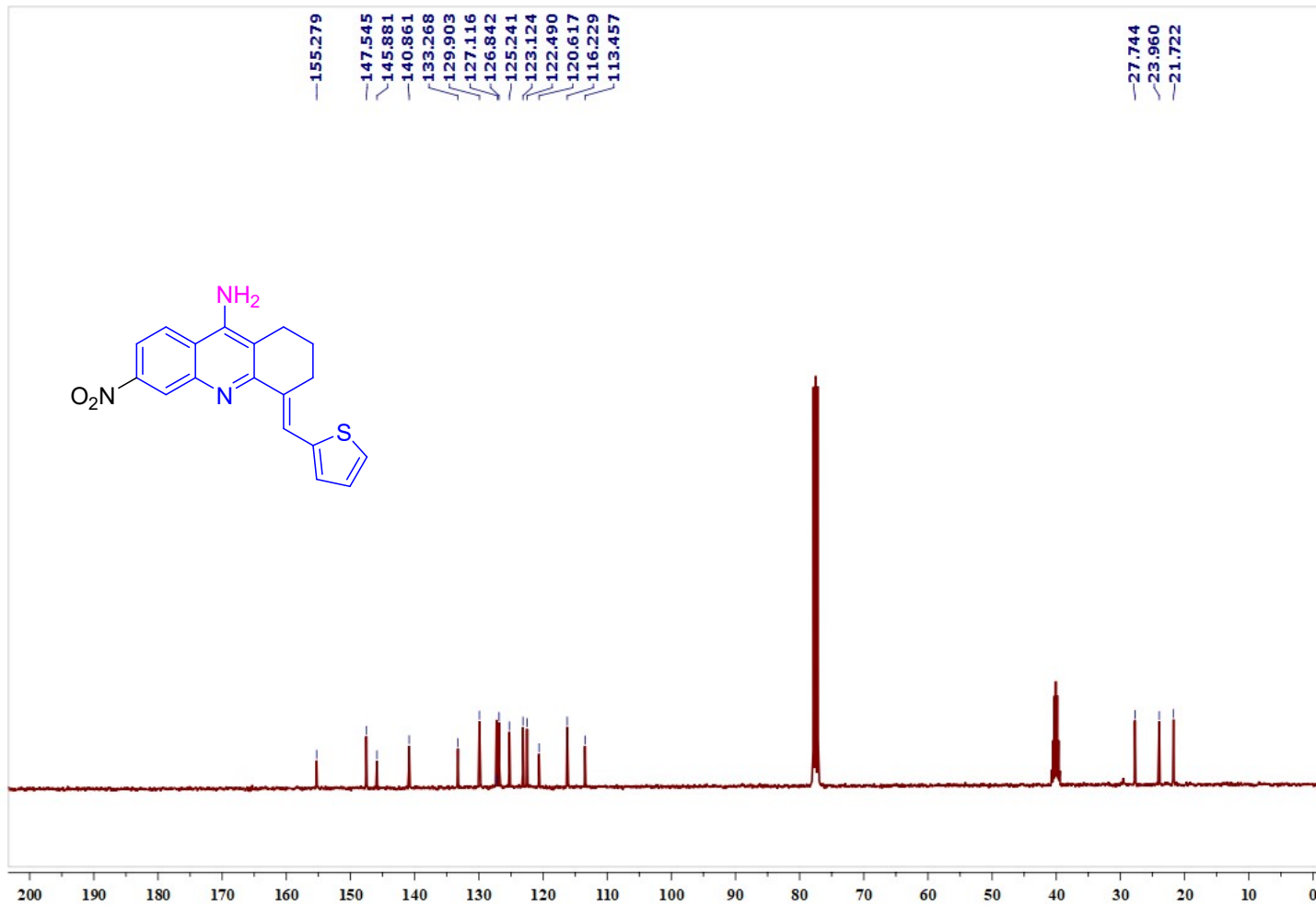


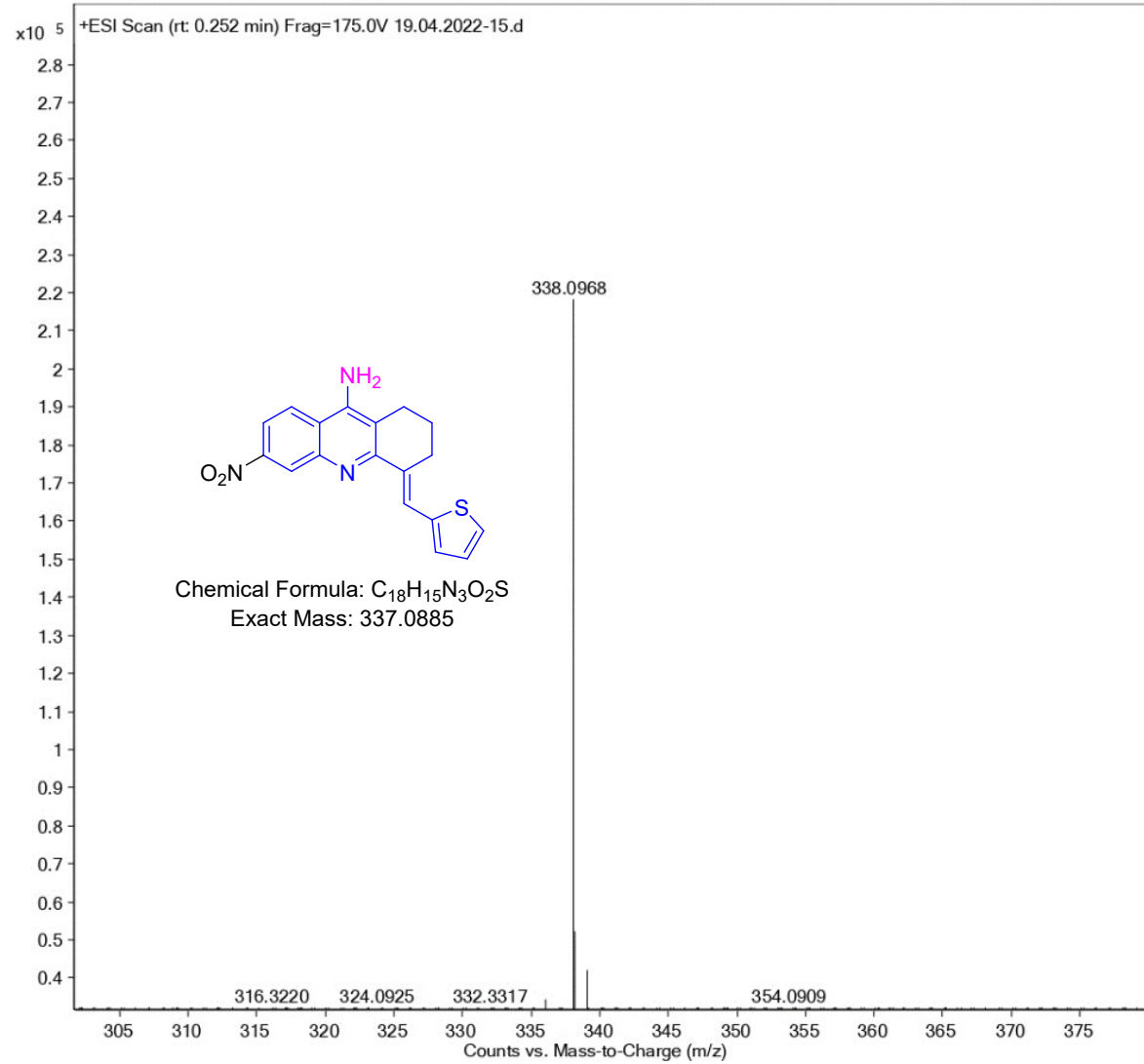


S143

*(E)*-6-Nitro-4-(thiophen-2-ylmethylene)-1,2,3,4-tetrahydroacridin-9-amine(3i)

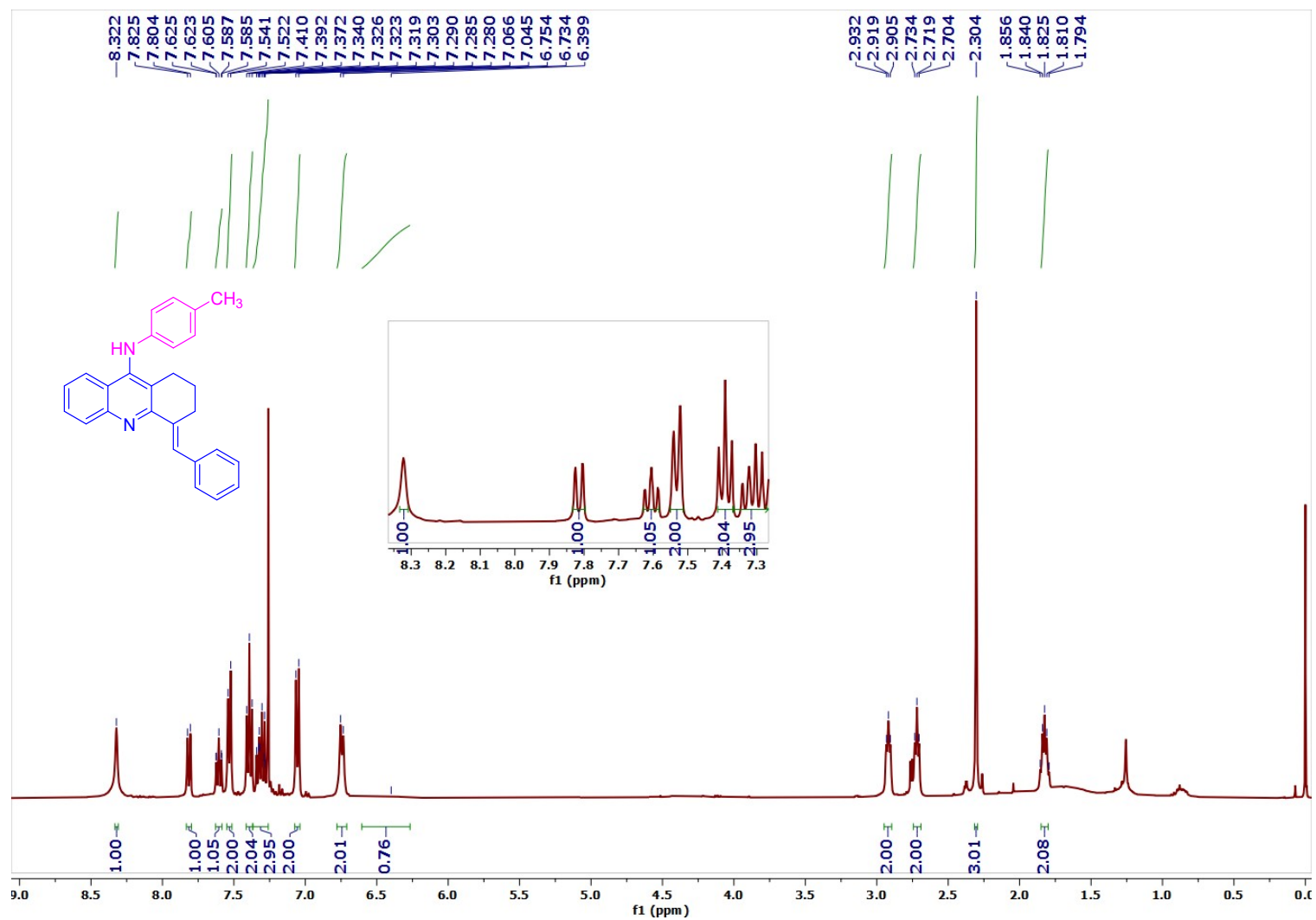


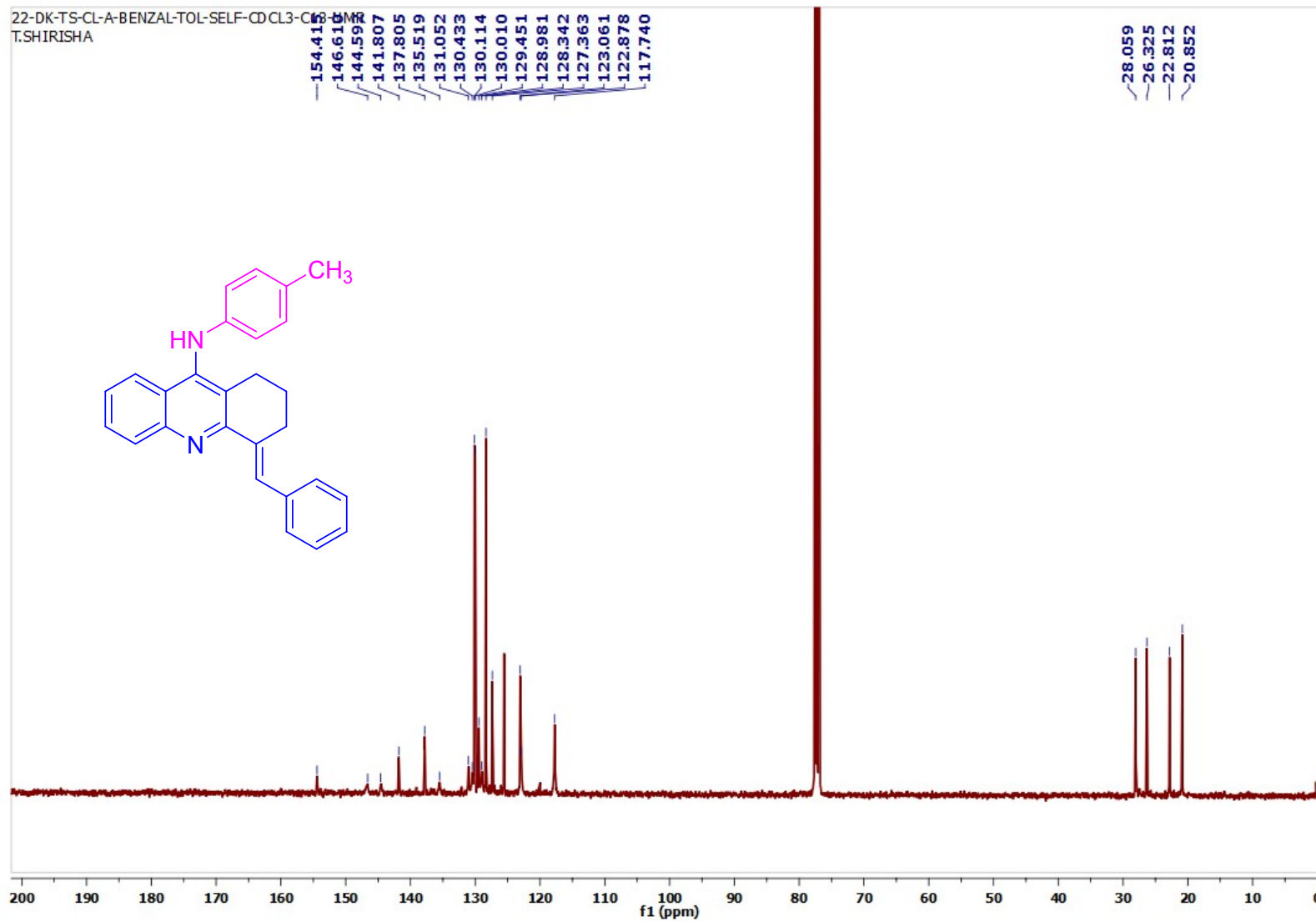




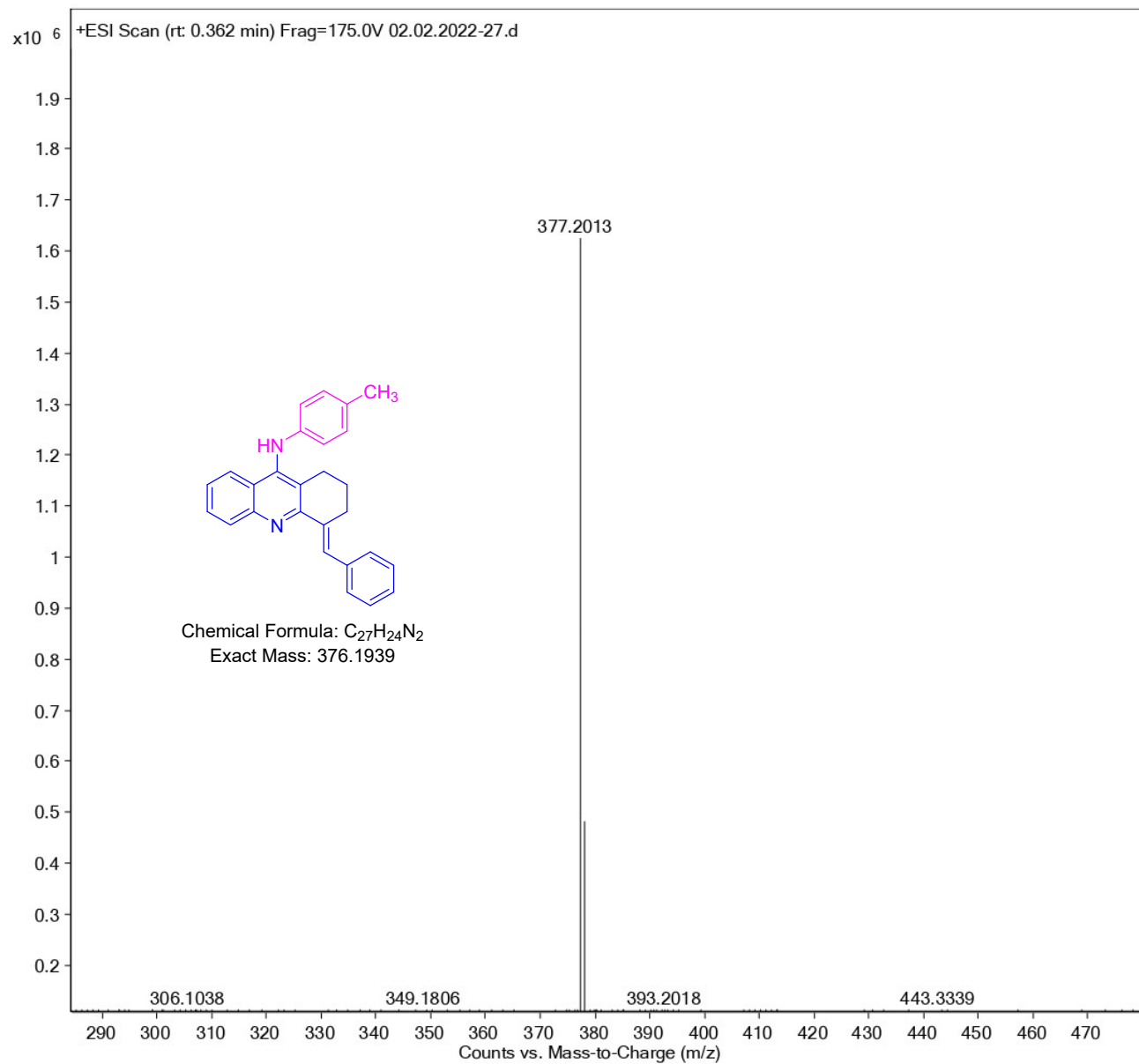
S146

*(E)*-4-Benzylidene-N-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8a):

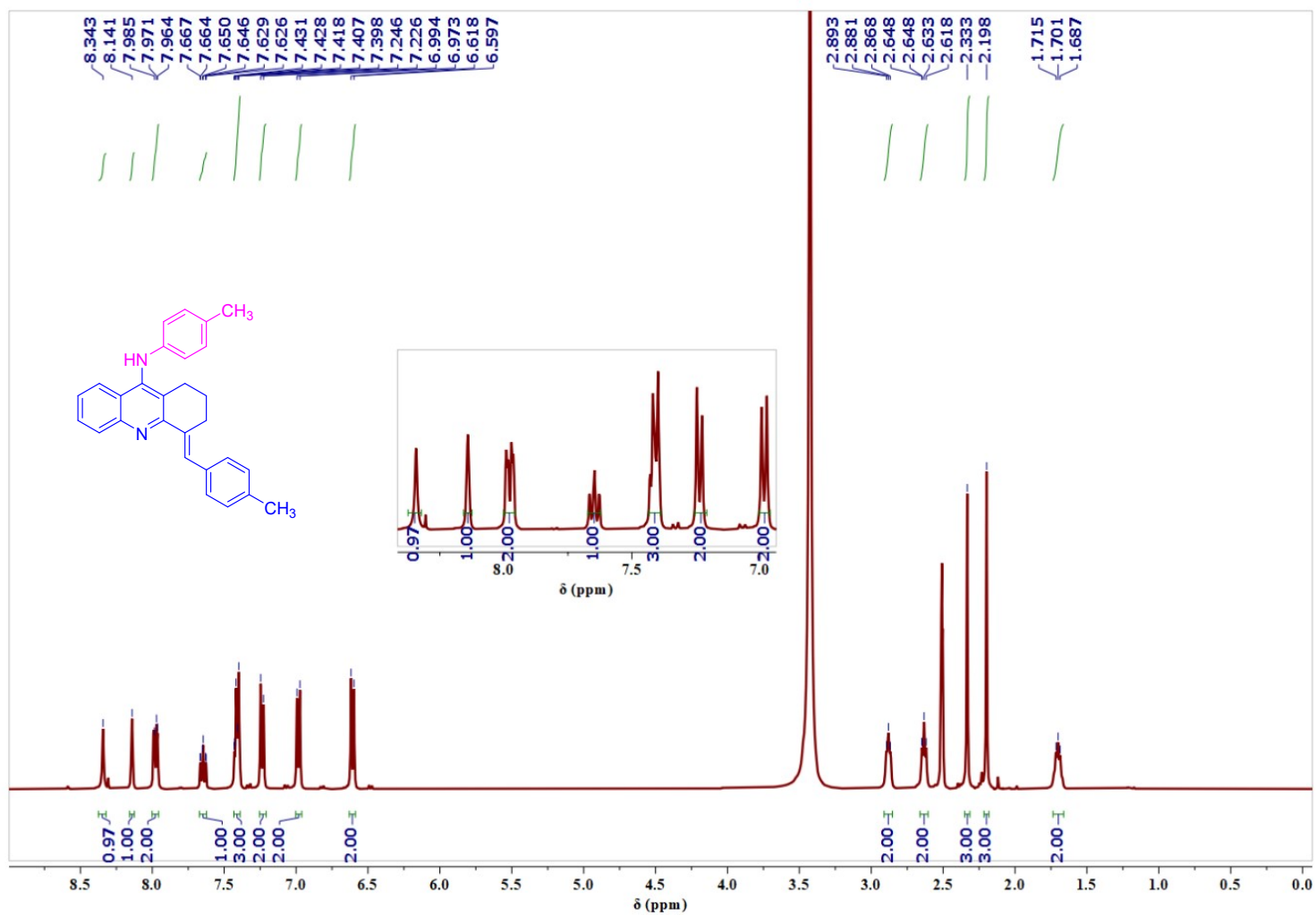


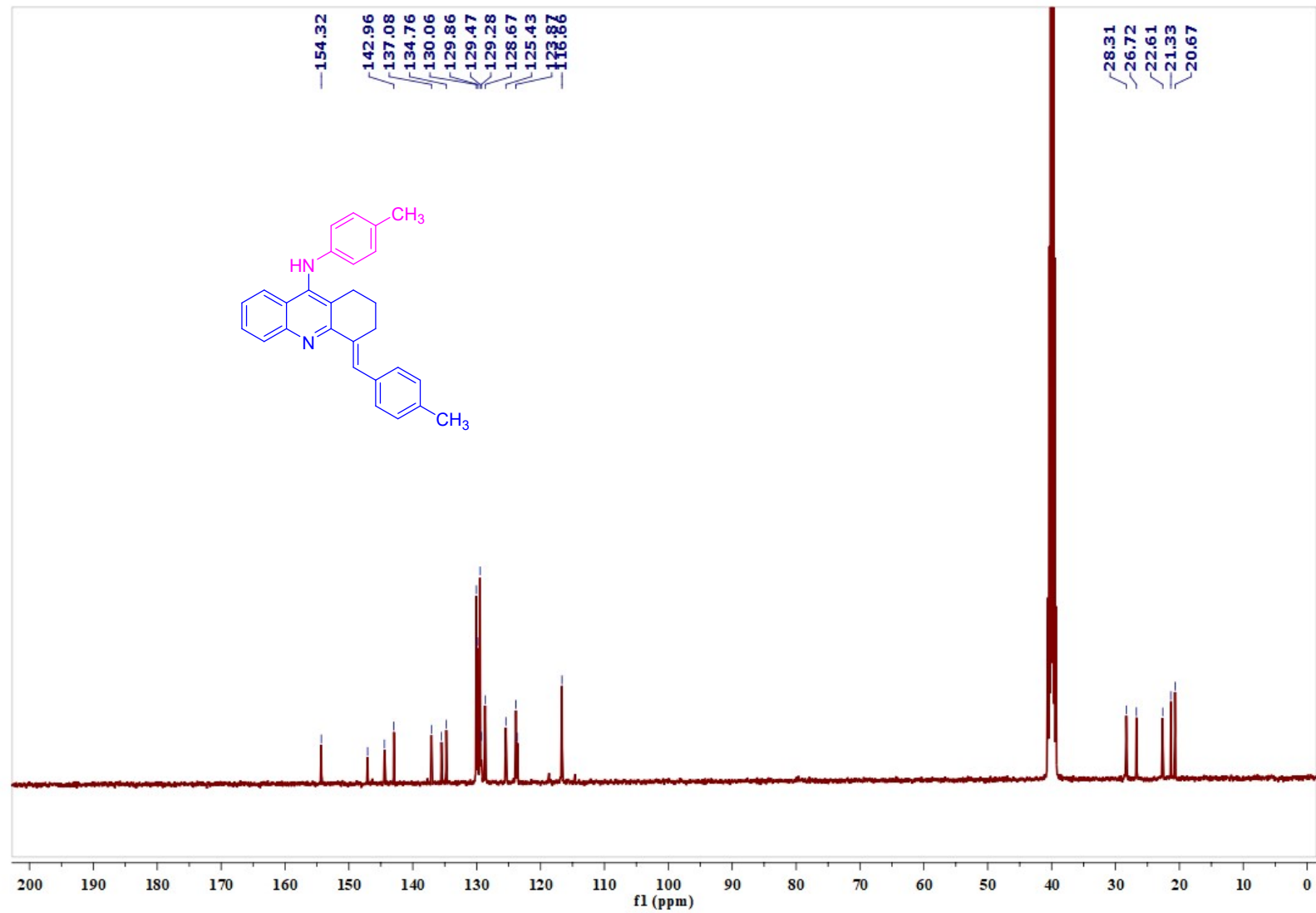




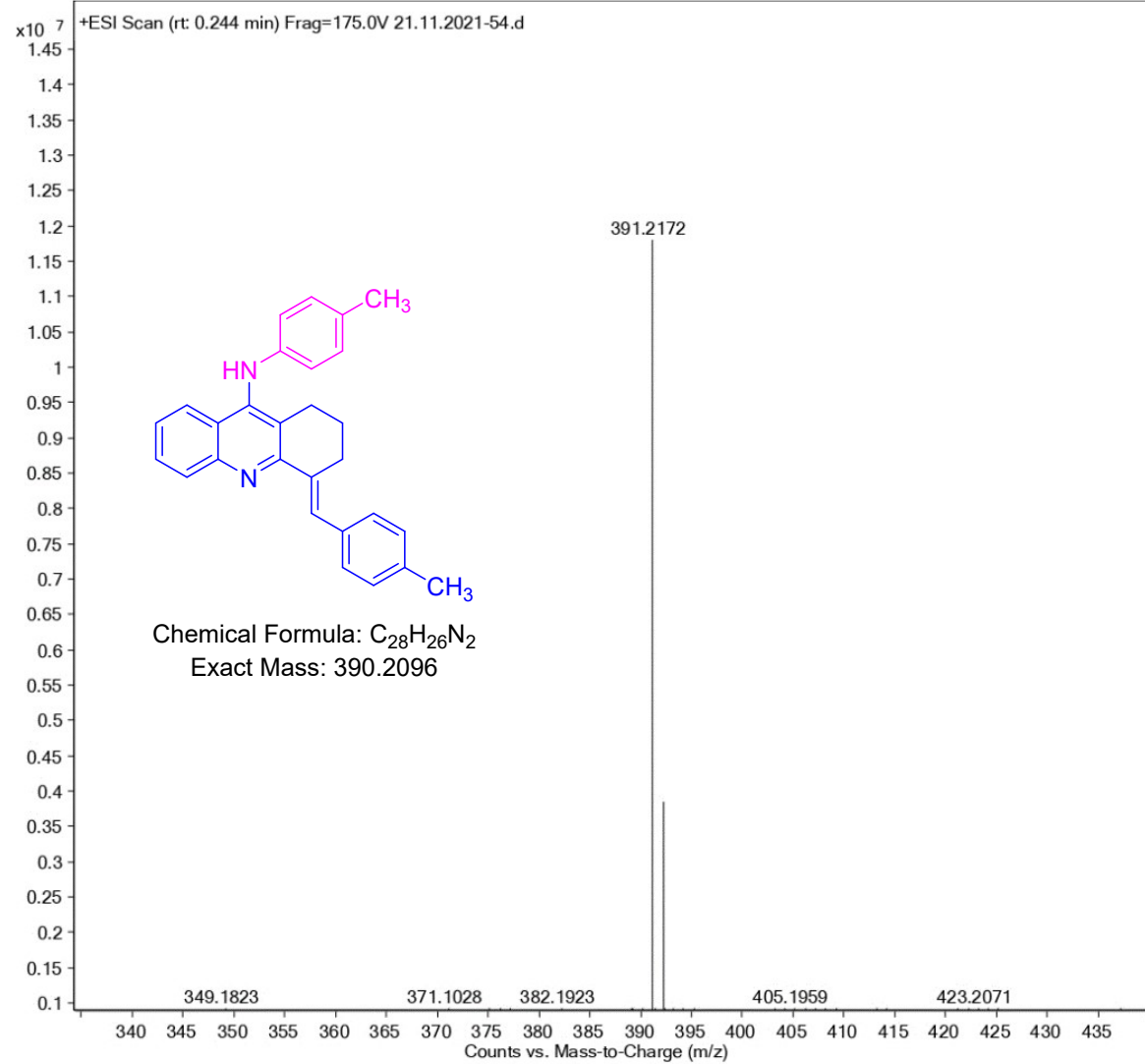


*(E)*-4-(4-Methylbenzylidene)-*N*-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (**8b**):

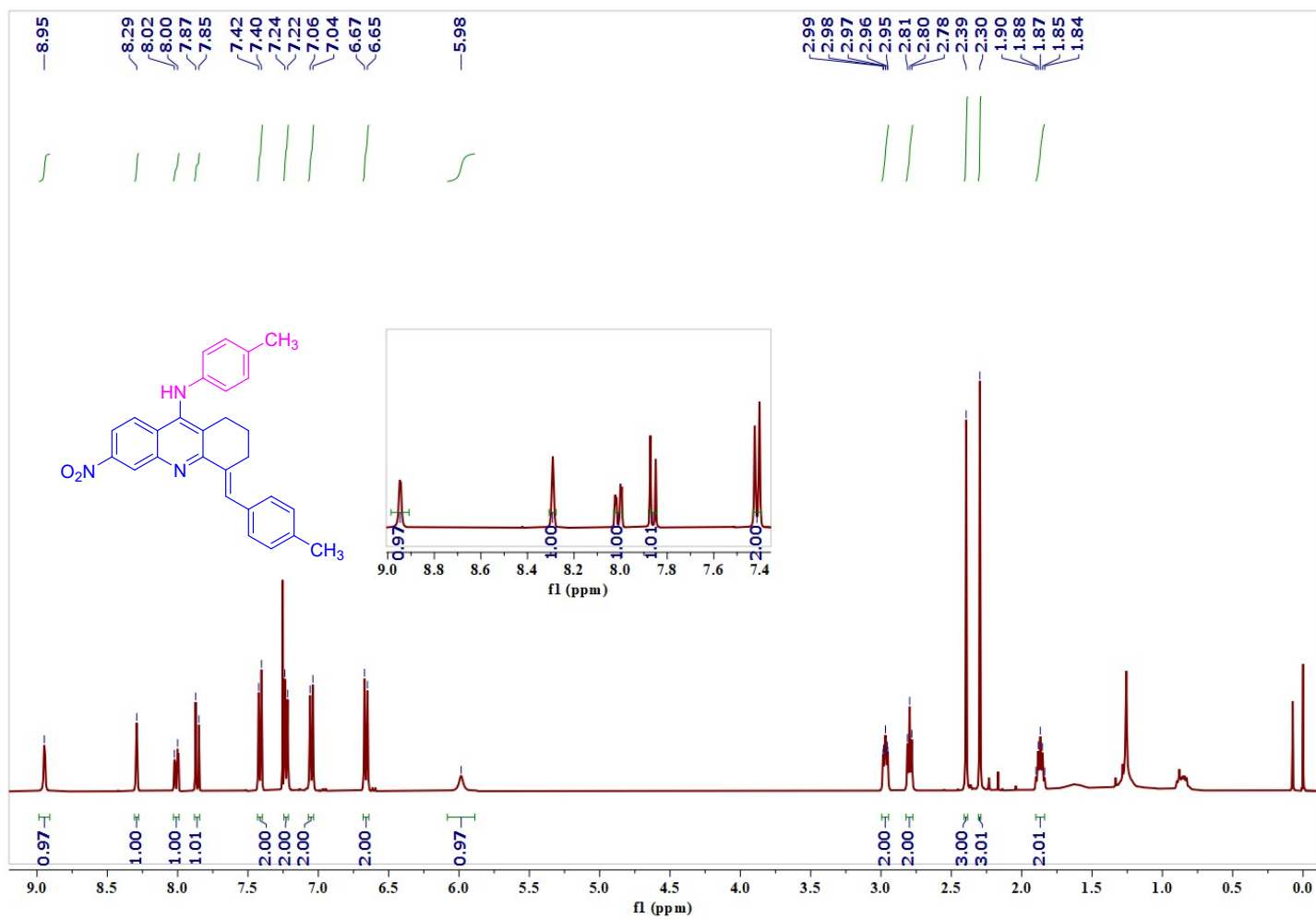


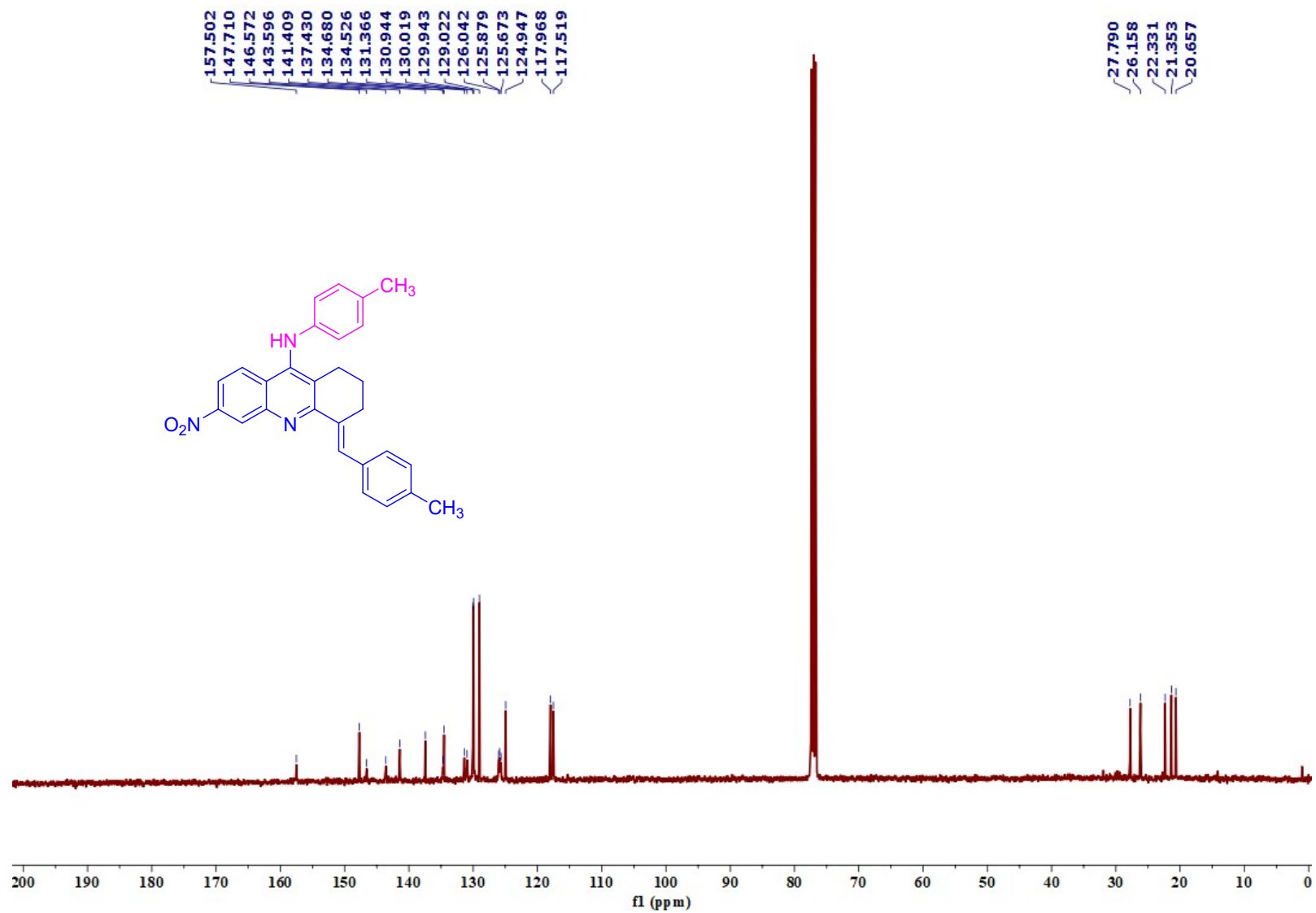


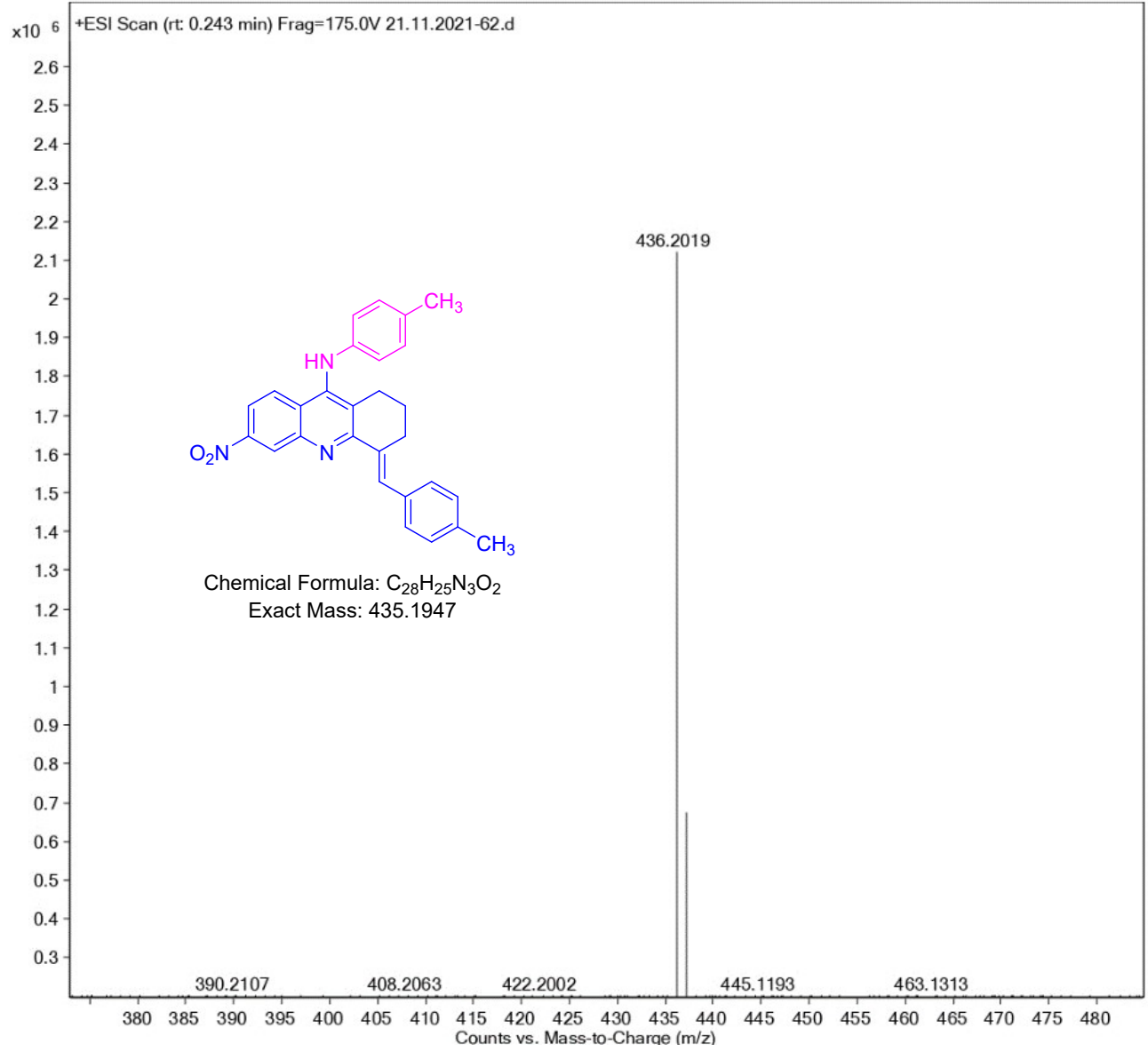
S151



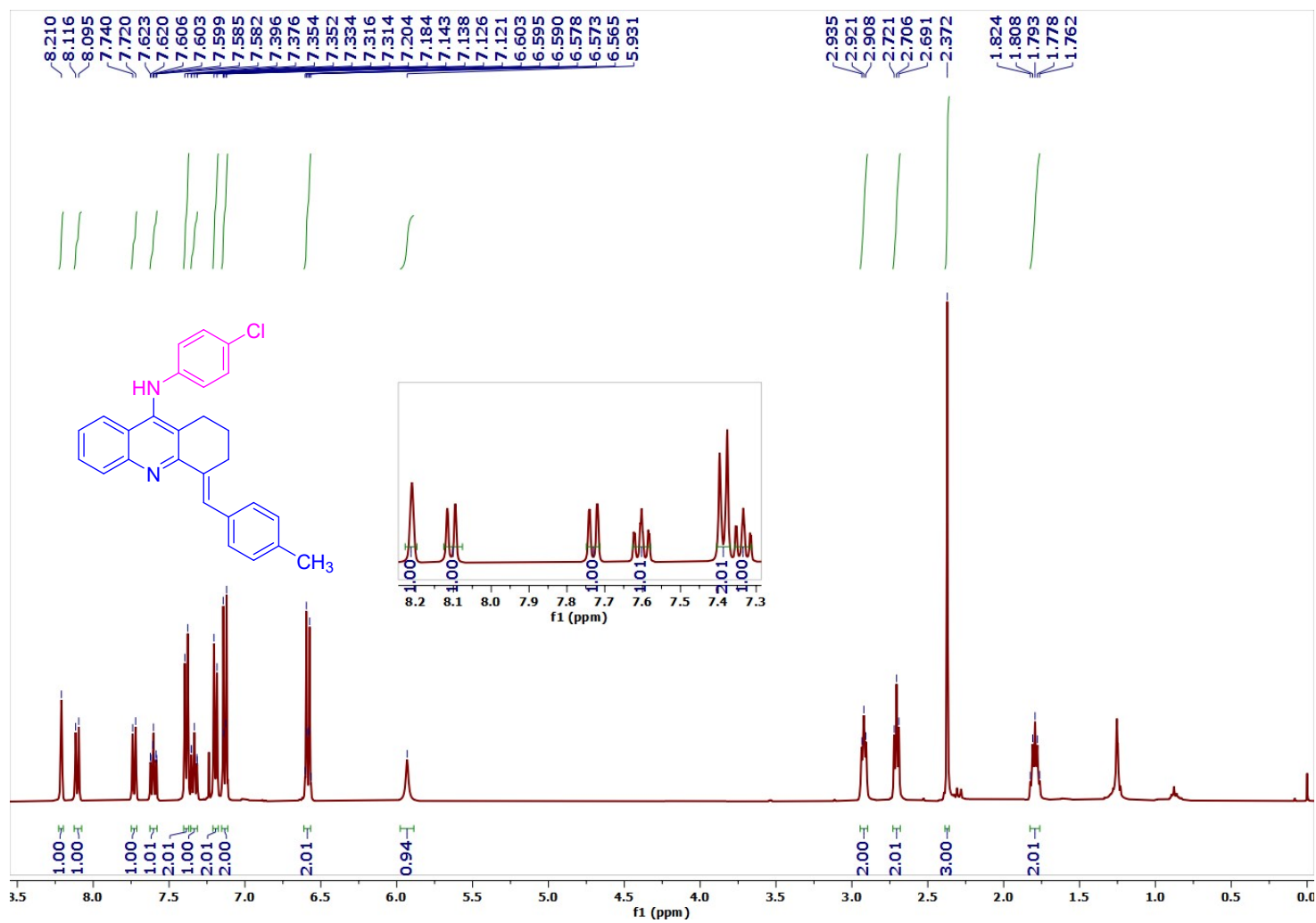
*(E)*-4-(4-Methylbenzylidene)-6-nitro-*N*-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (**8c**):





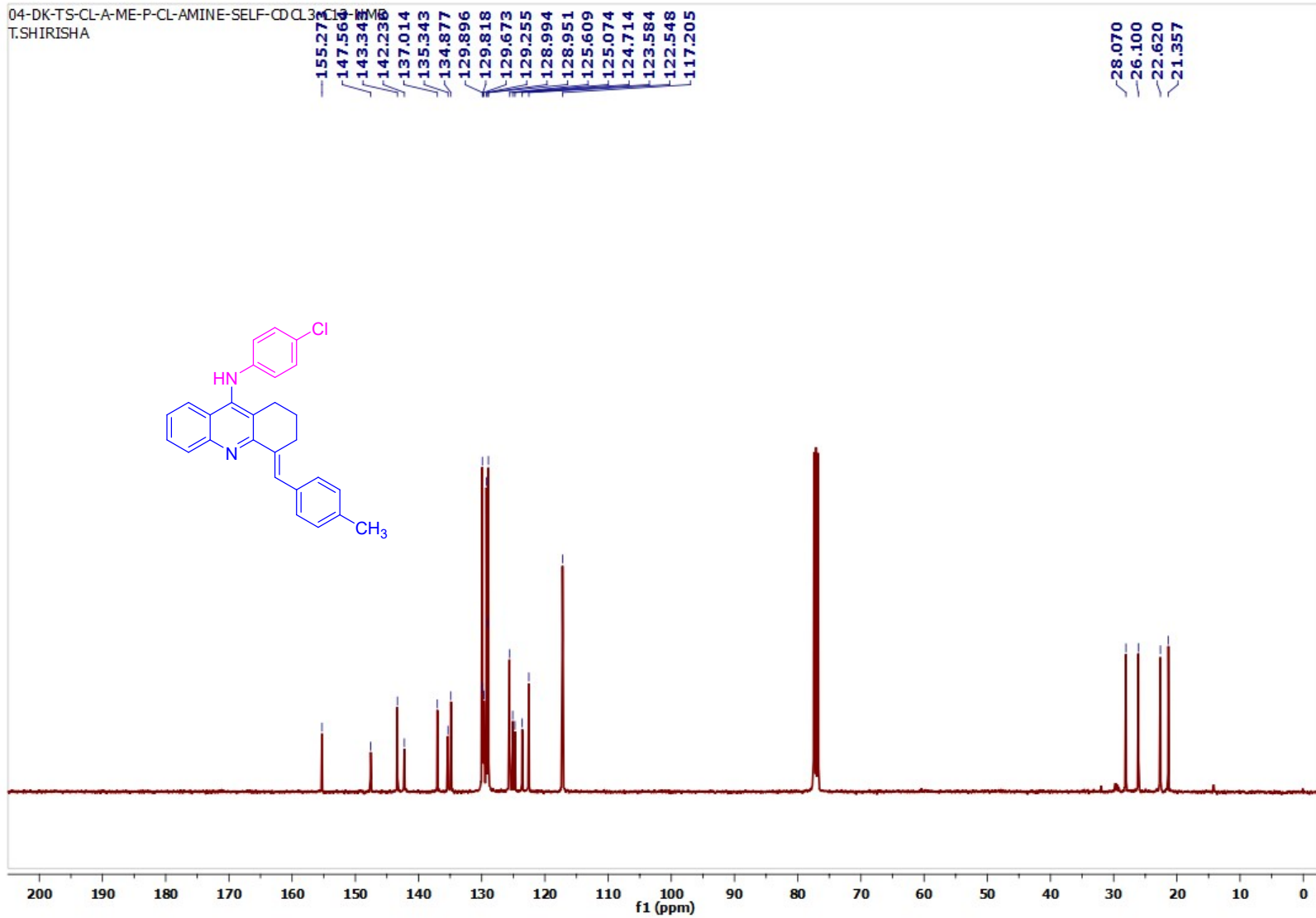


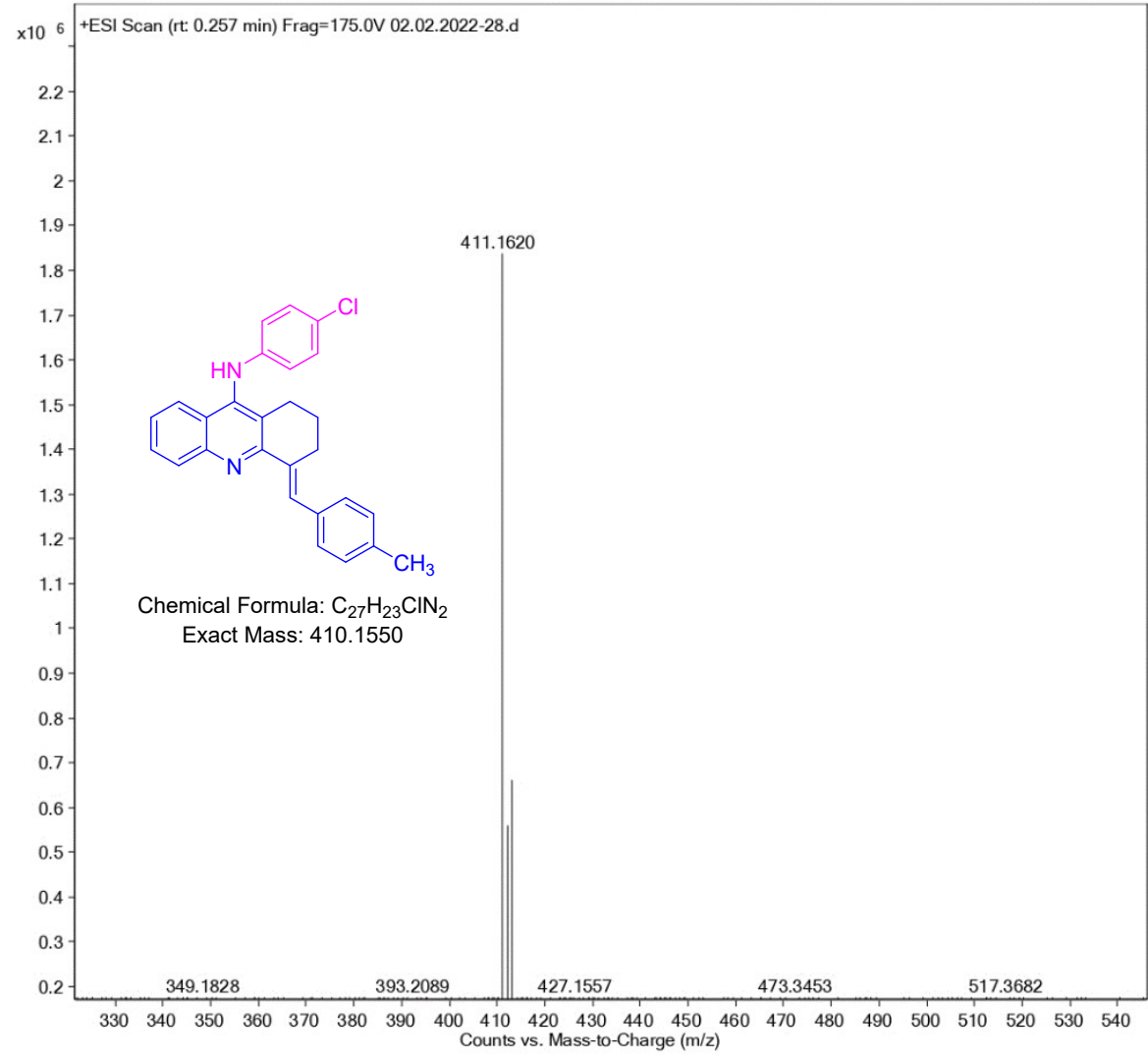
*(E)*-*N*-(4-Chlorophenyl)-4-(4-methylbenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (8d):



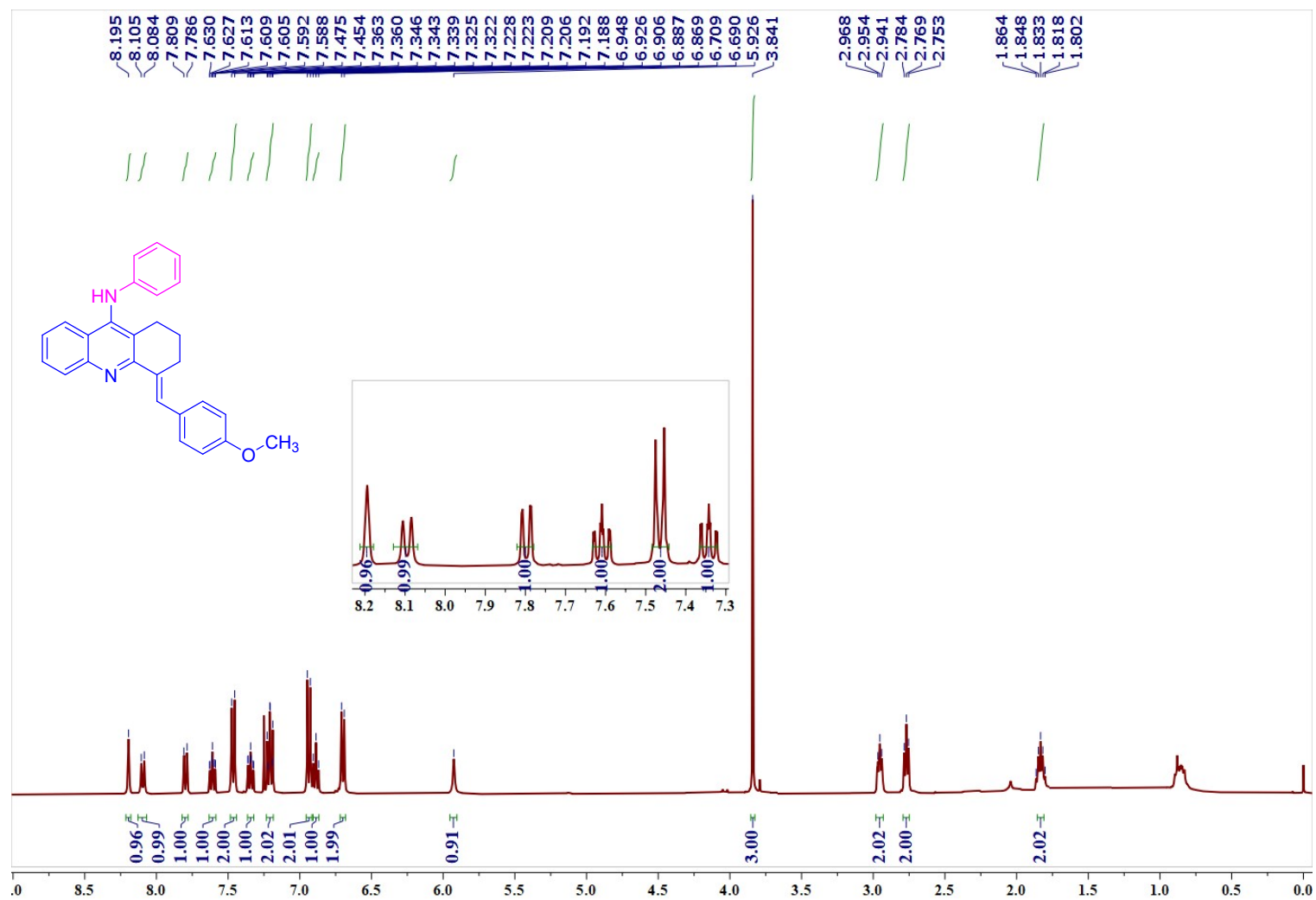


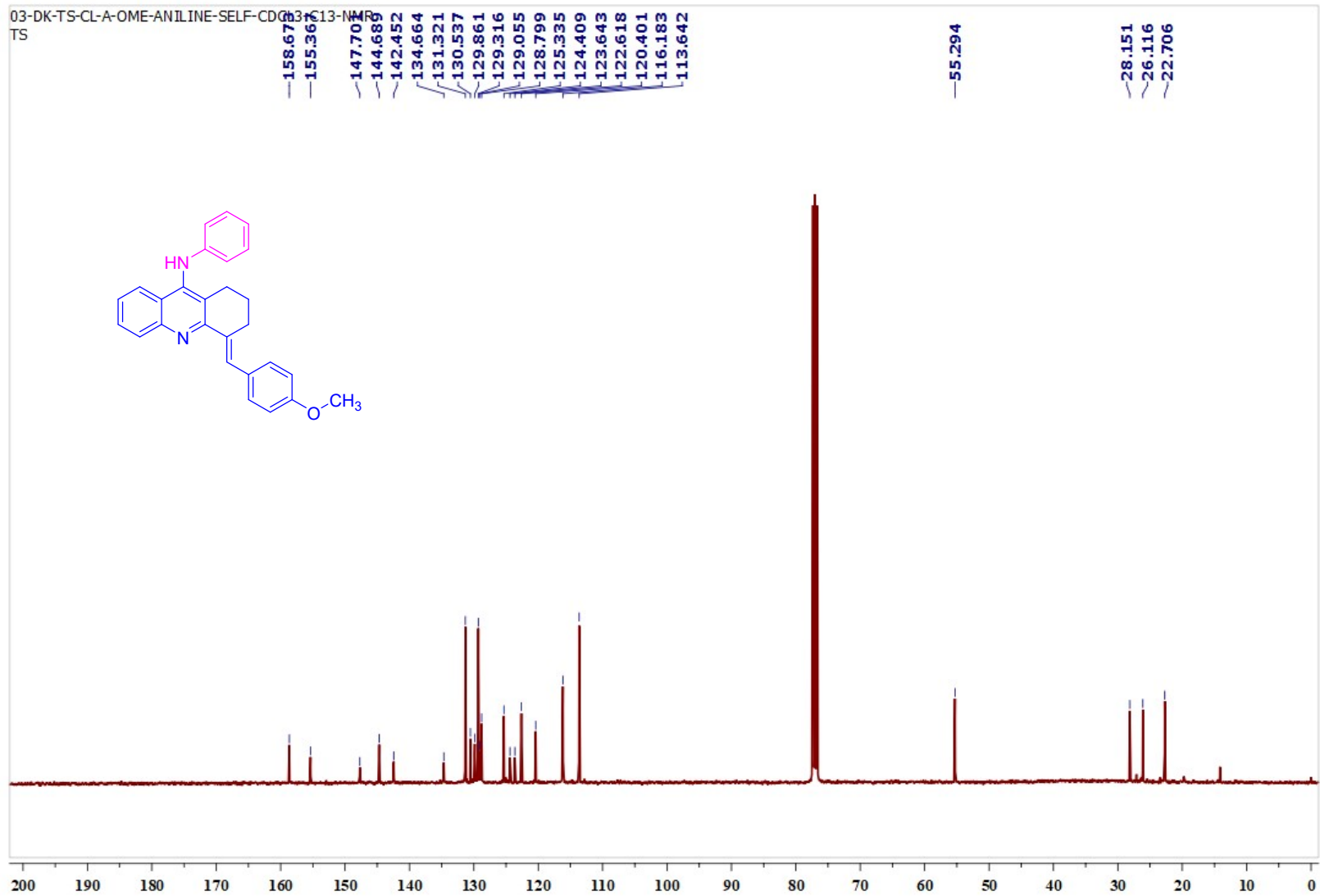
04-DK-TS-CL-A-ME-P-CL-AMINE-SELF-CD-CL3  
T.SHIRISHA

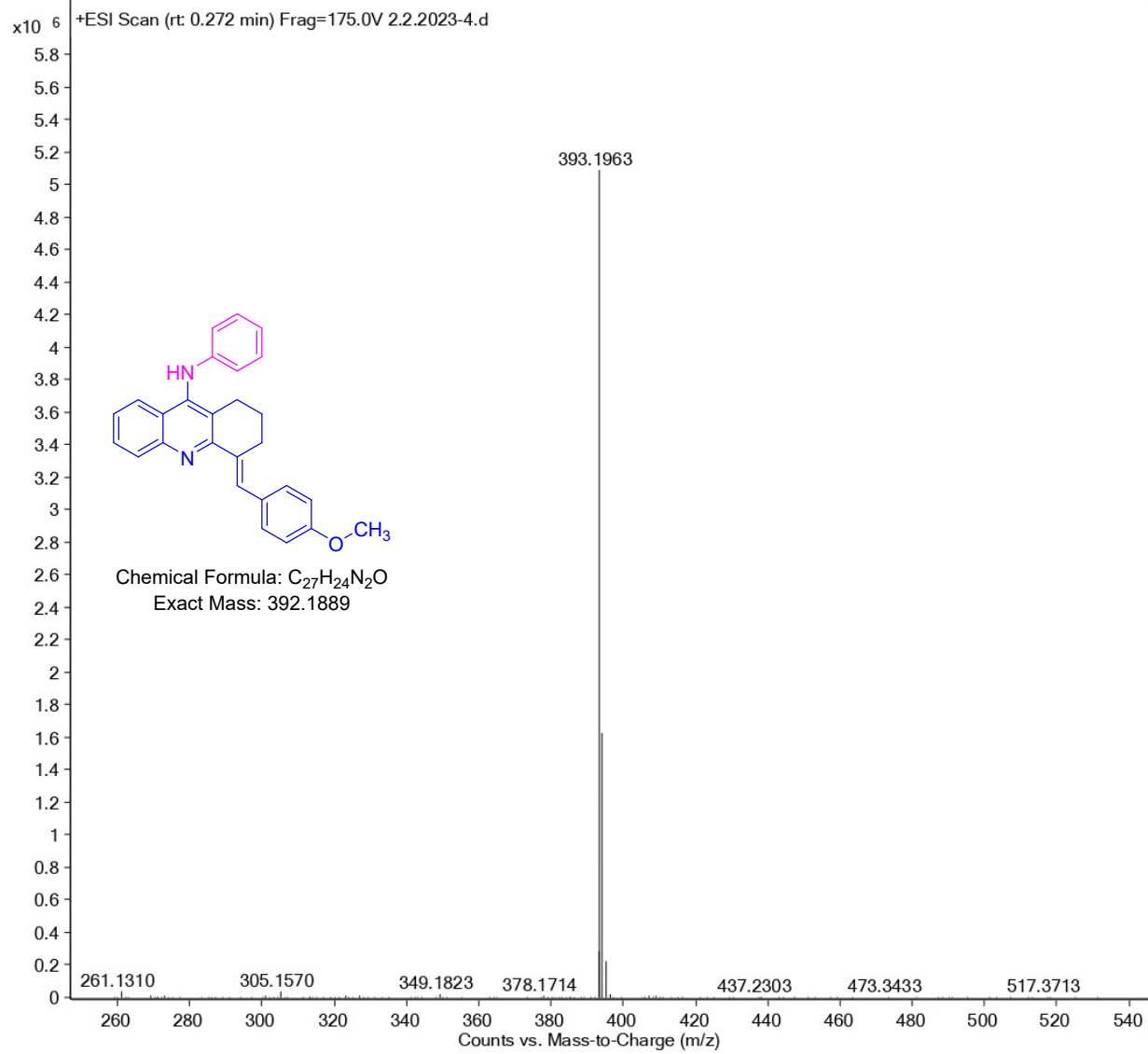




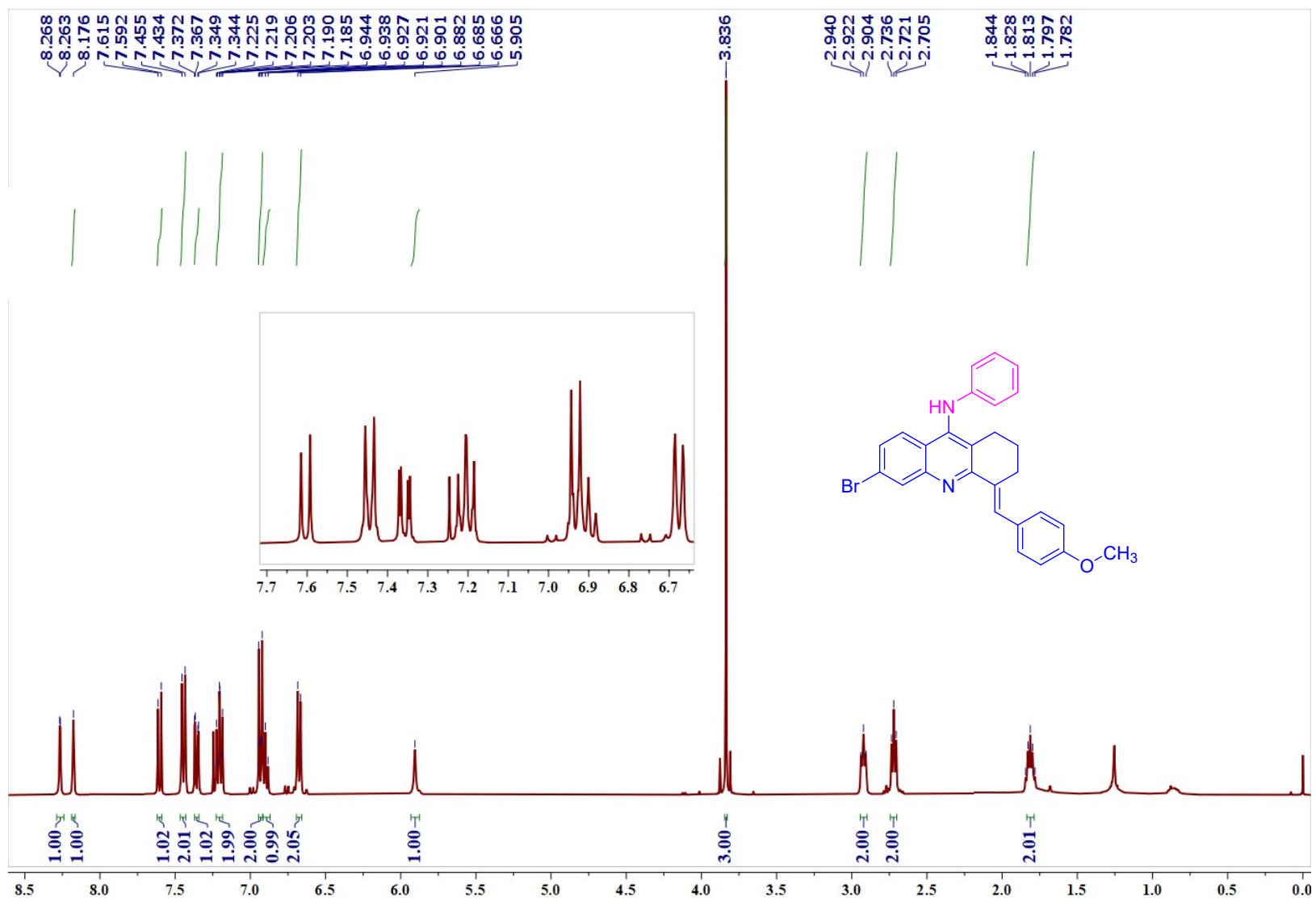
*(E)*-4-(4-Methoxybenzylidene)-*N*-phenyl-1,2,3,4-tetrahydroacridin-9-amine(8e):

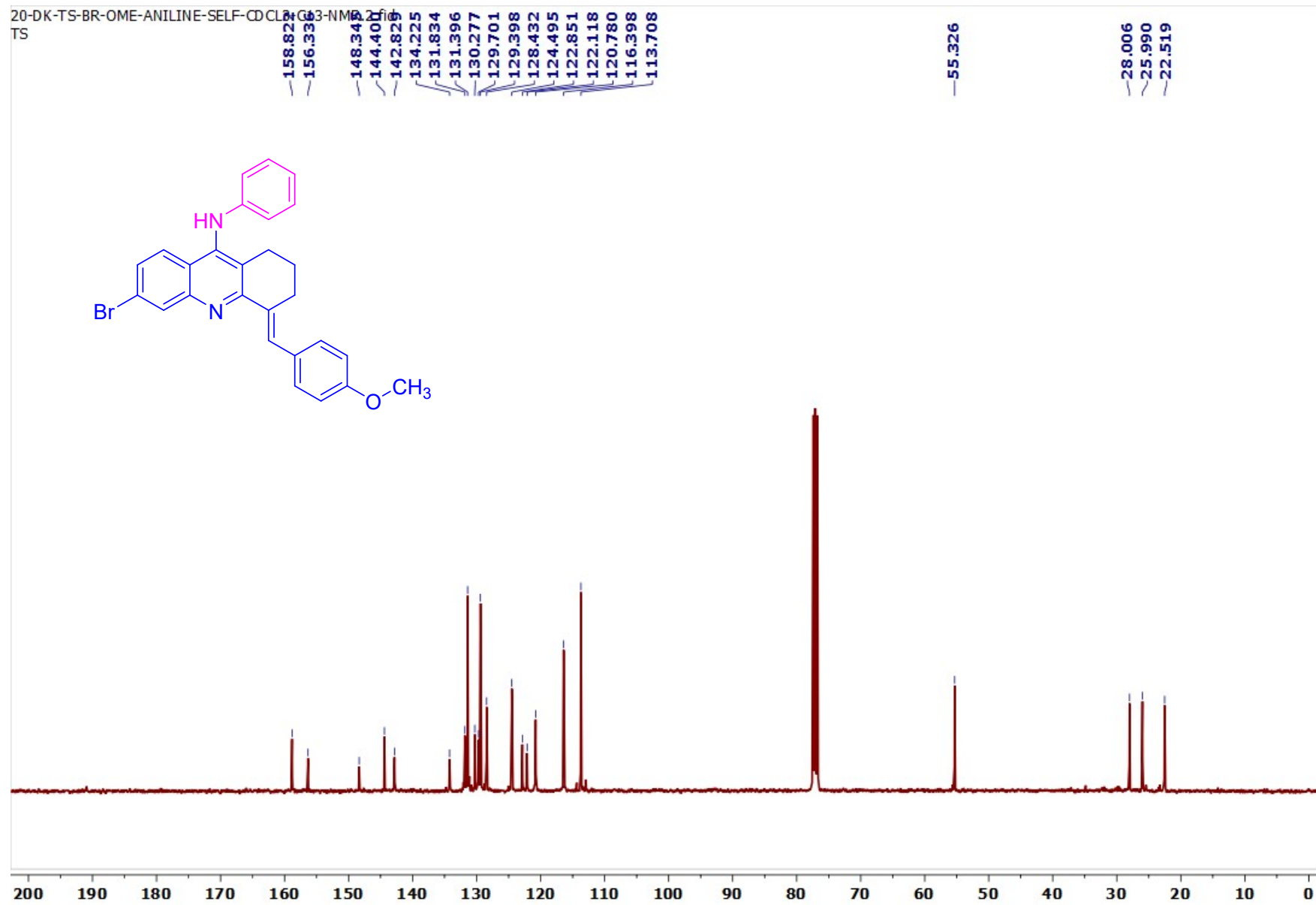


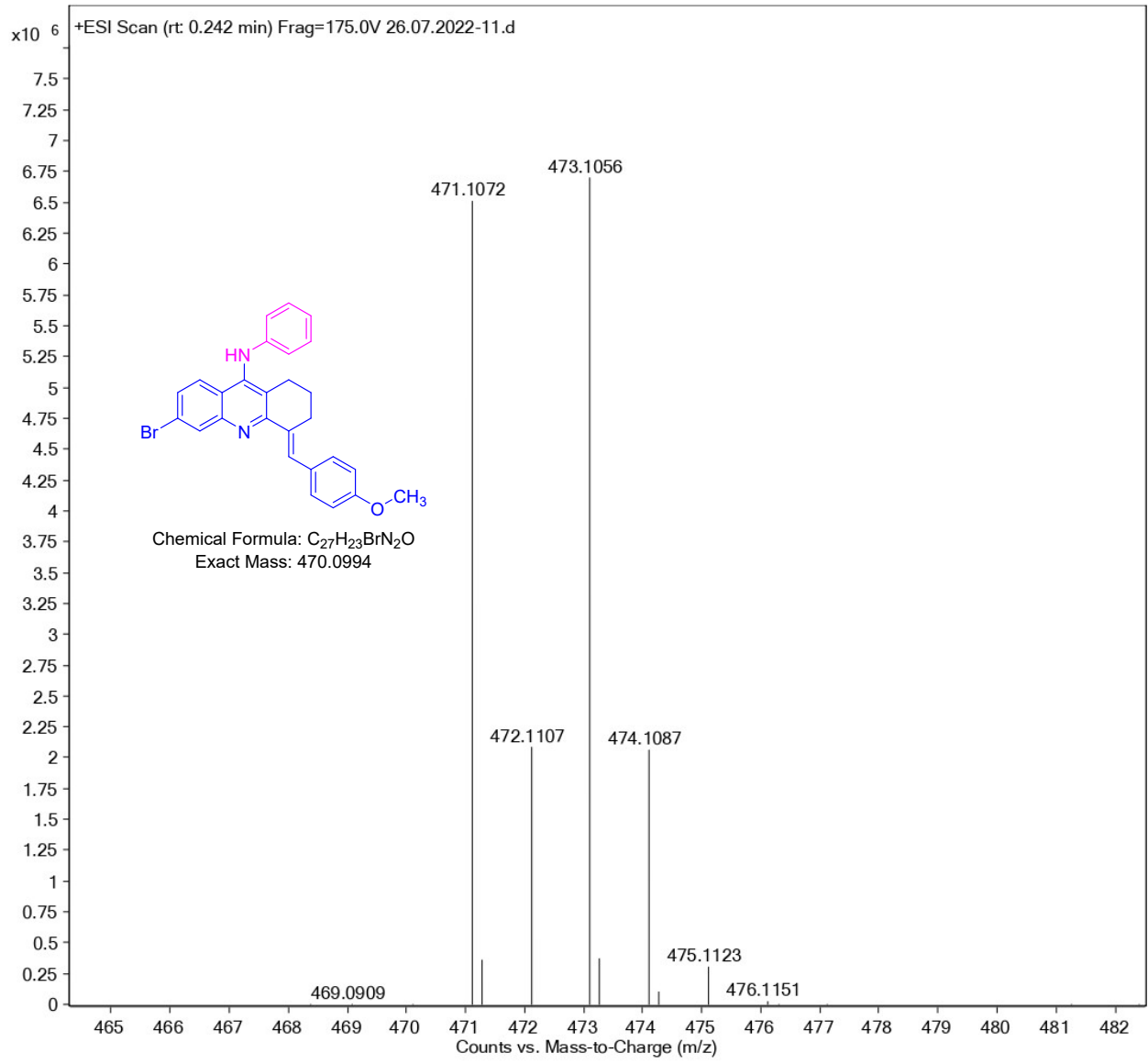




*(E)*-6-Bromo-4-(4-methoxybenzylidene)-*N*-phenyl-1,2,3,4-tetrahydroacridin-9-amine(8f):

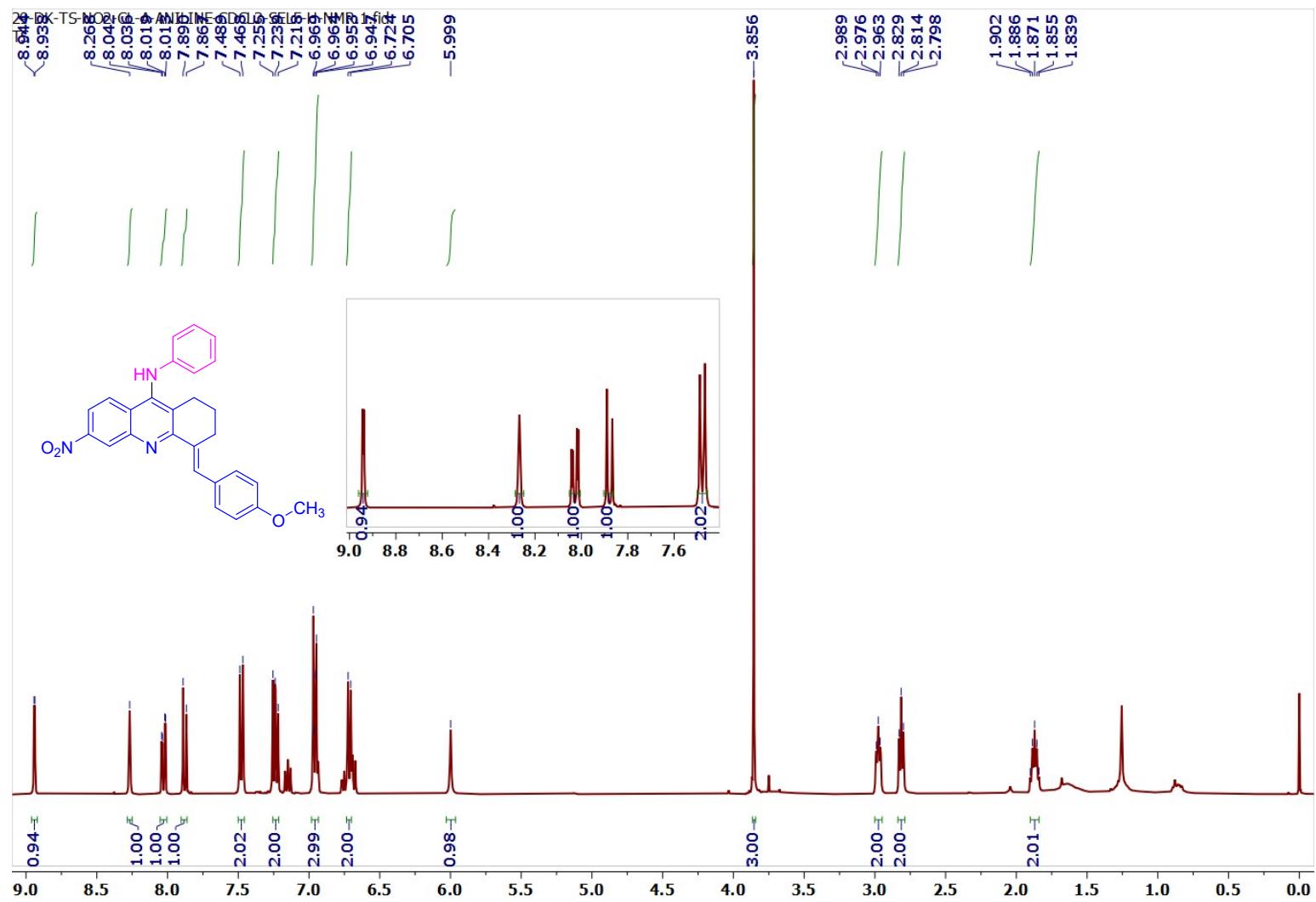


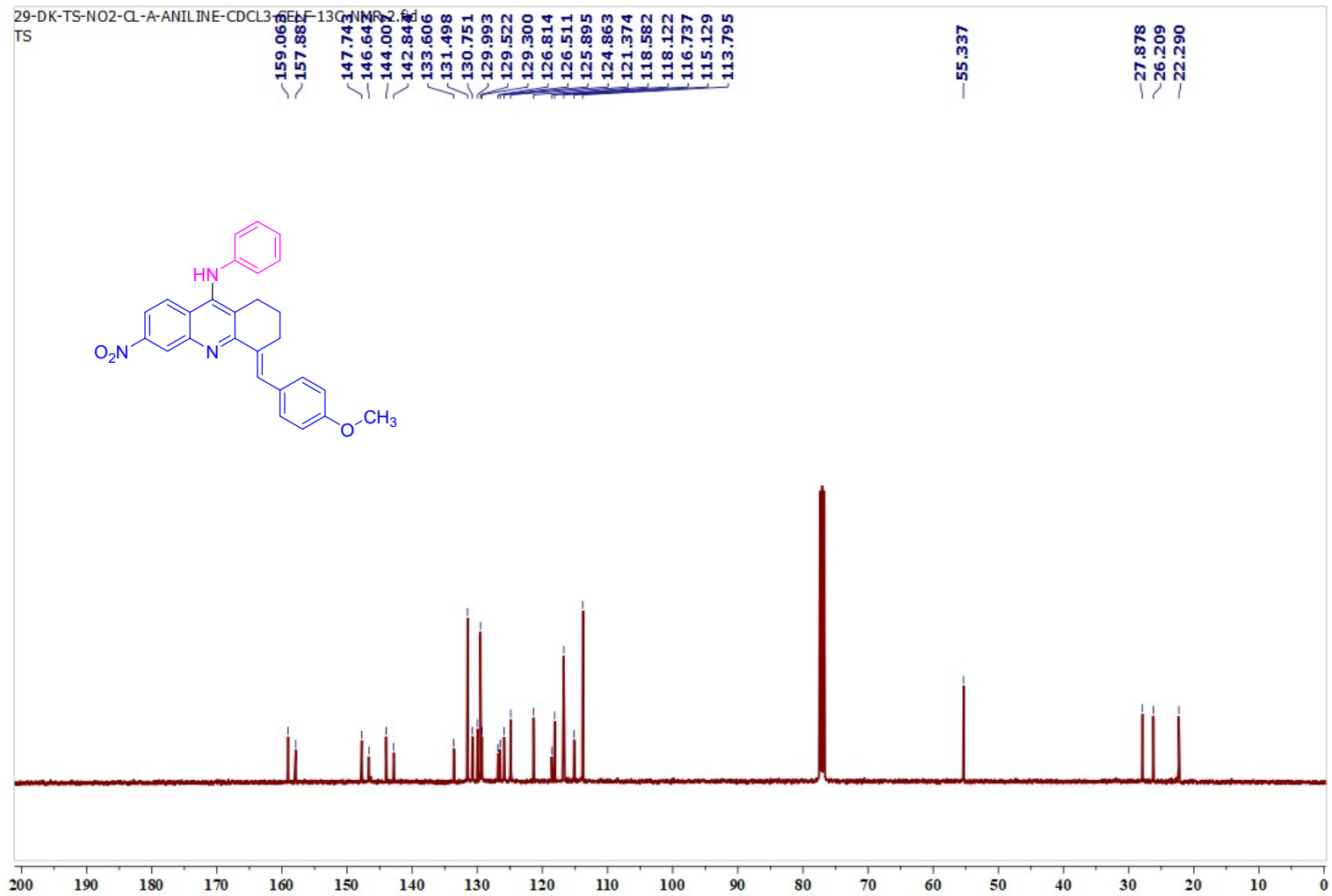


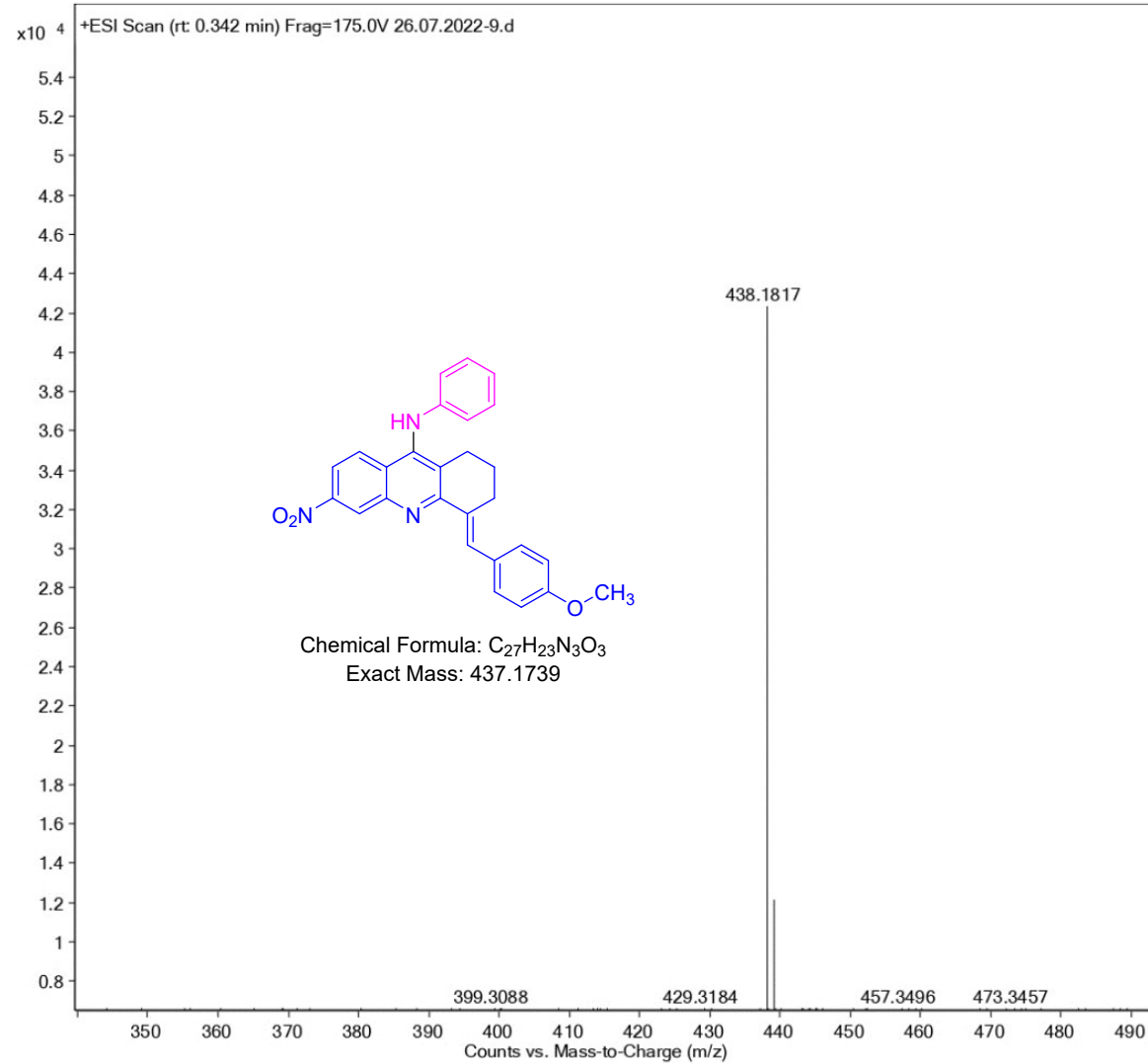




*(E)-4-(4-Methoxybenzylidene)-6-nitro-N-phenyl-1,2,3,4-tetrahydroacridin-9-amine(8g):*

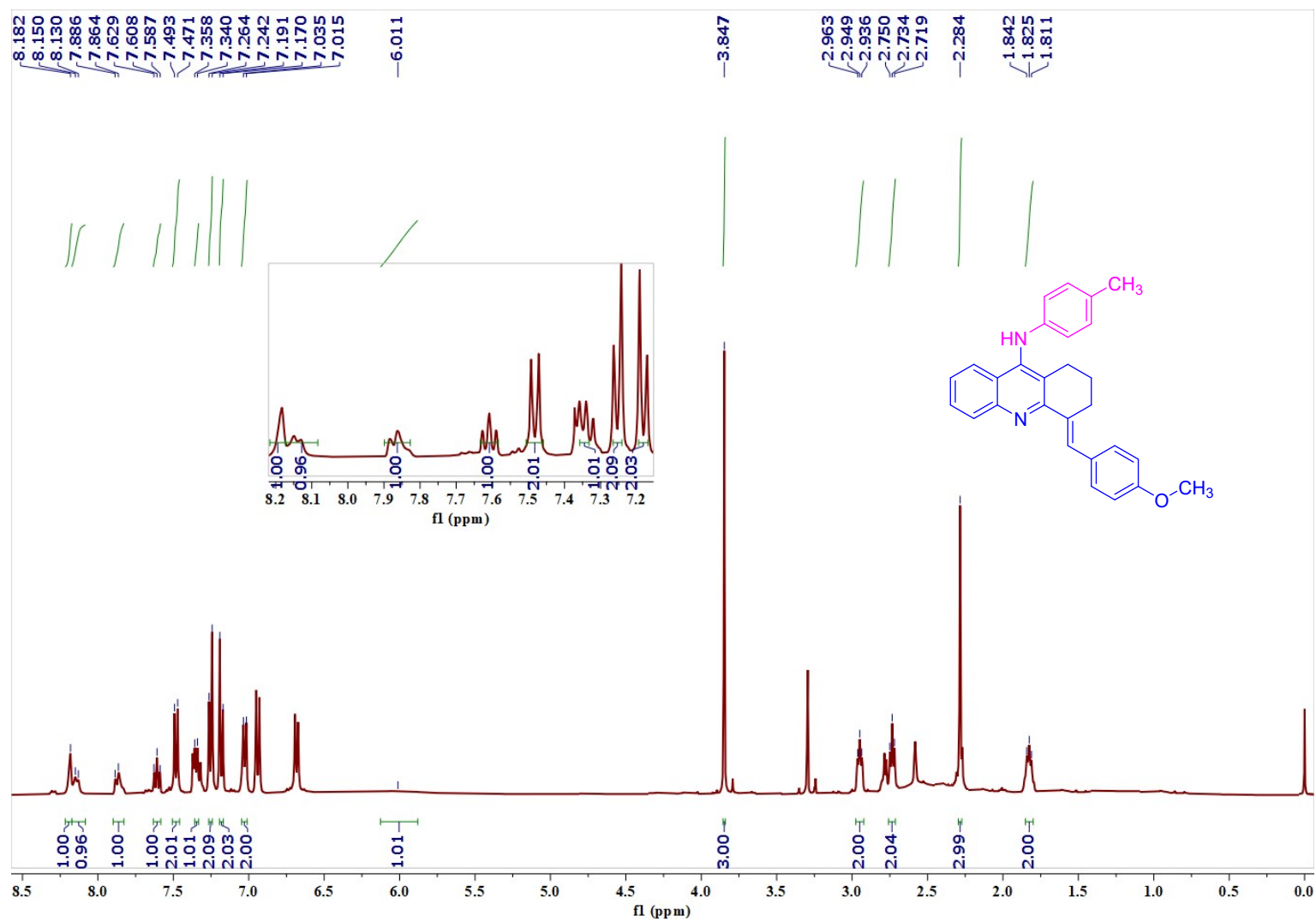


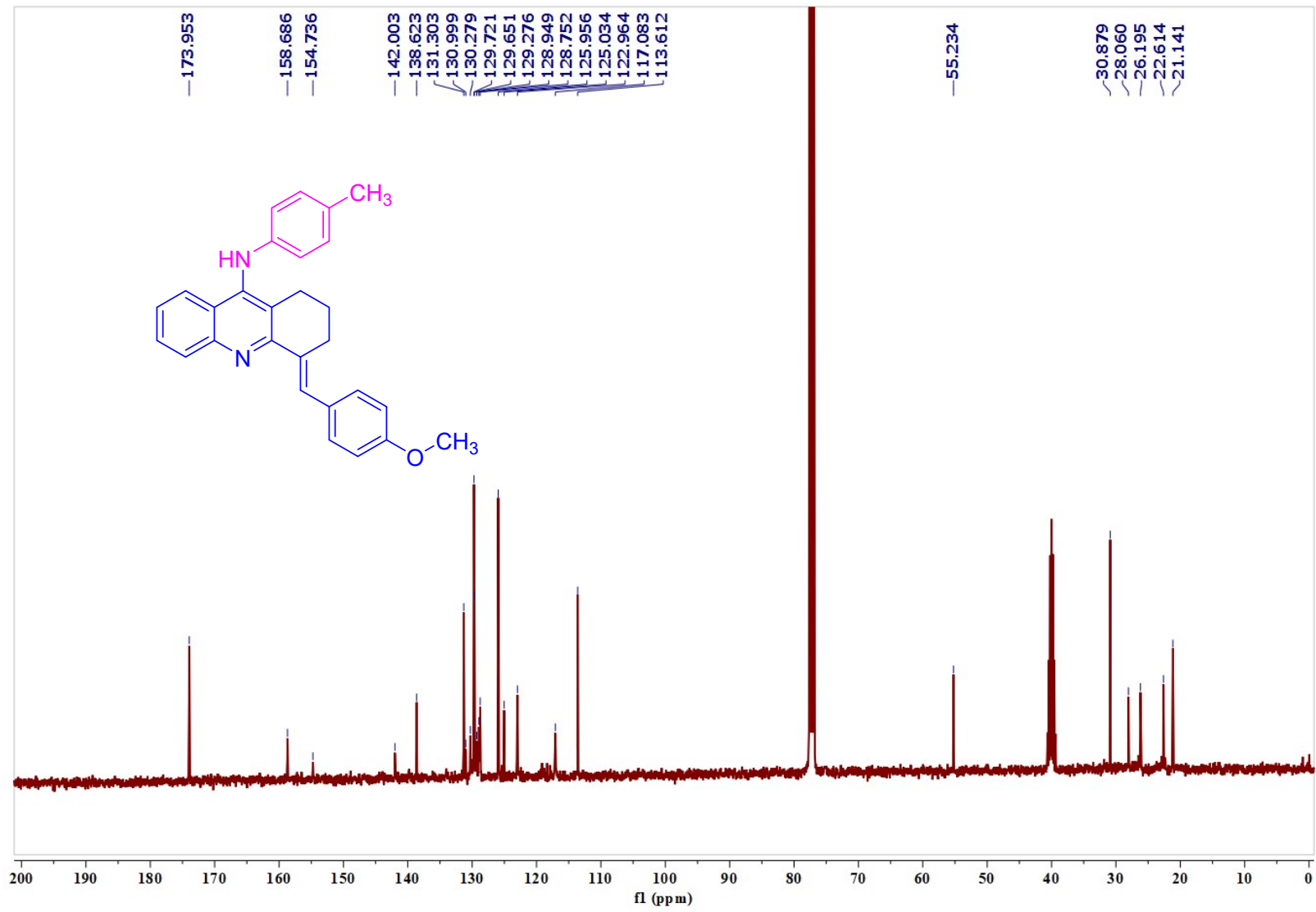


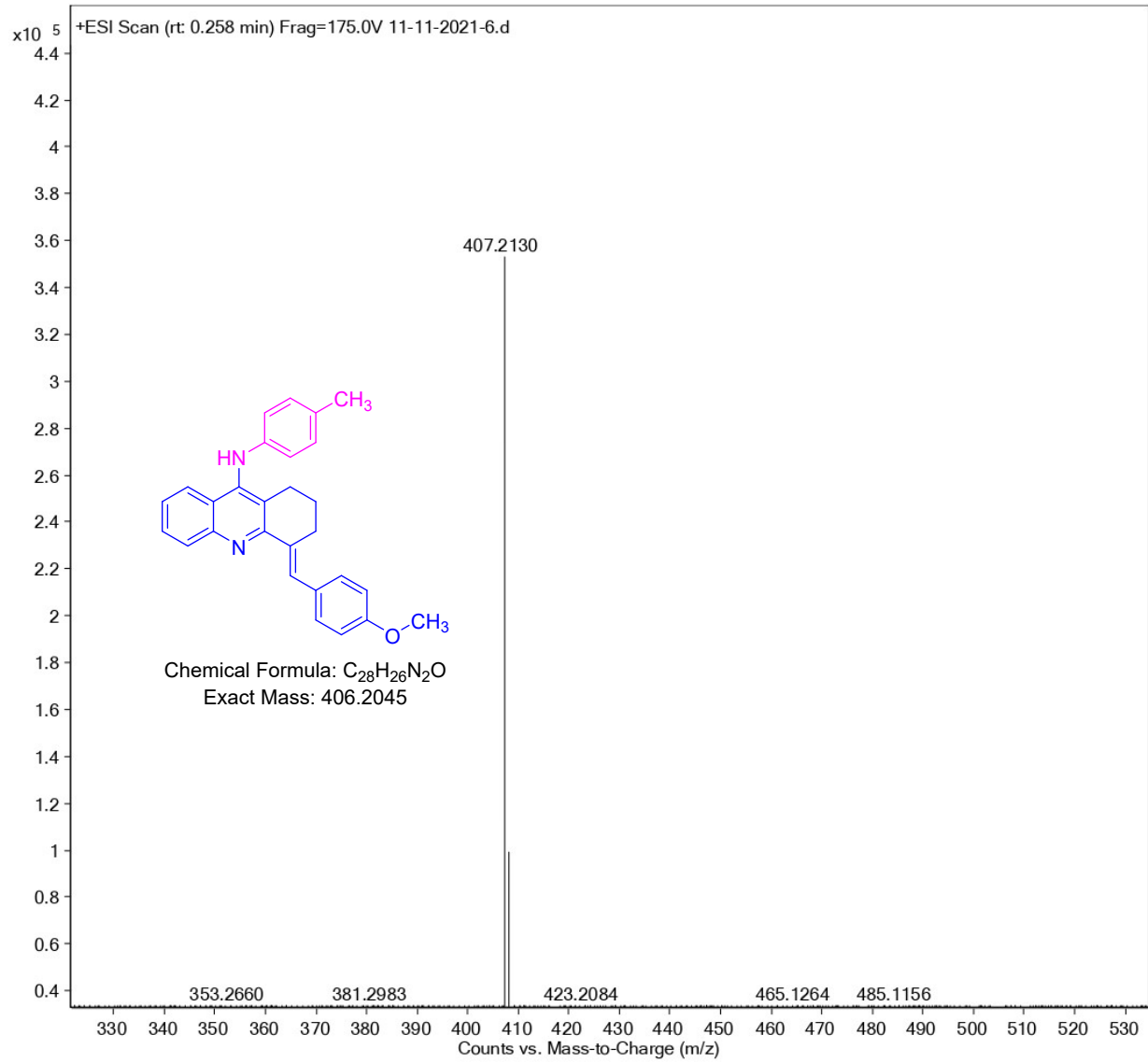


S168

*(E)*-4-(4-Methoxybenzylidene)-*N*-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8h):

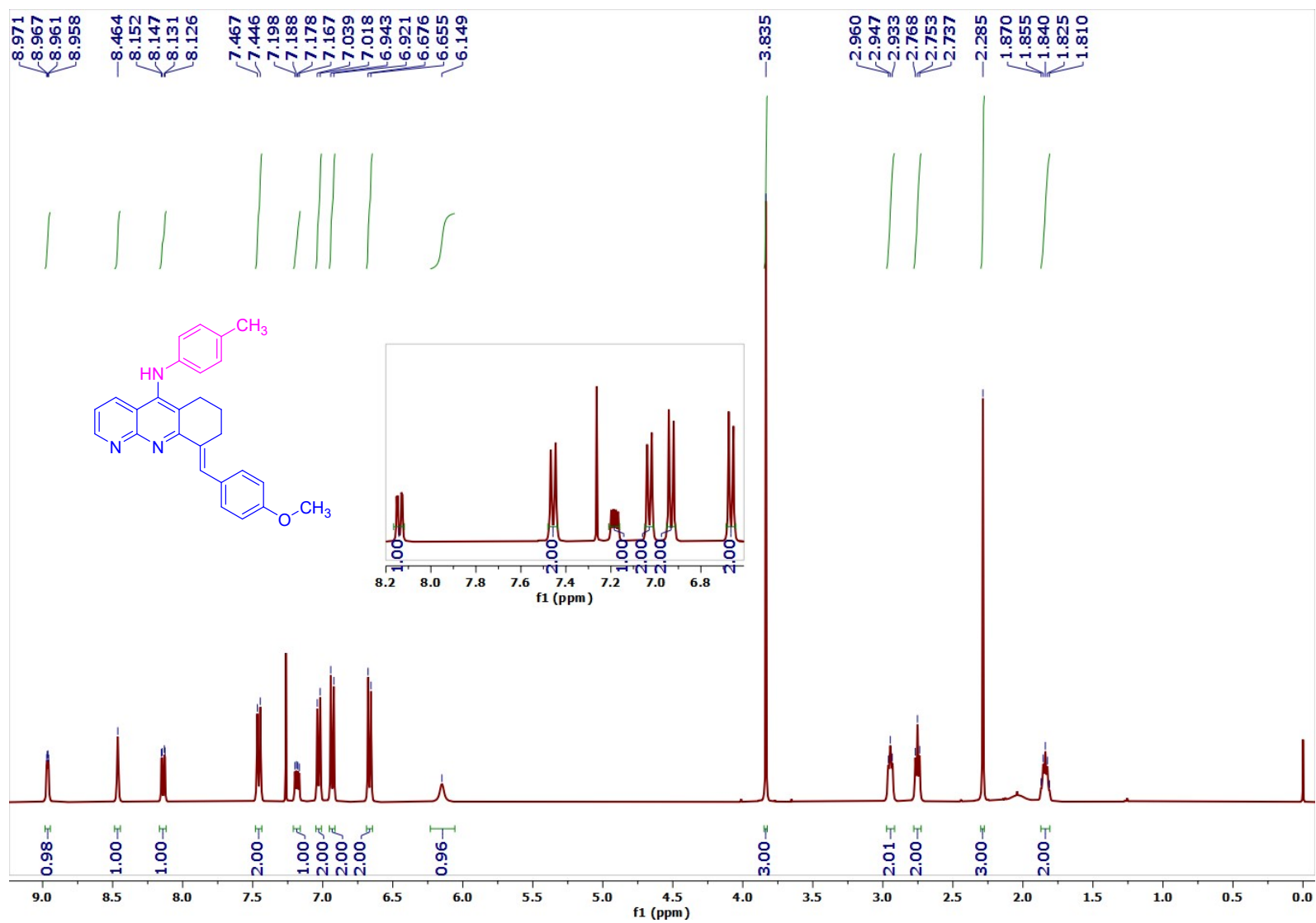




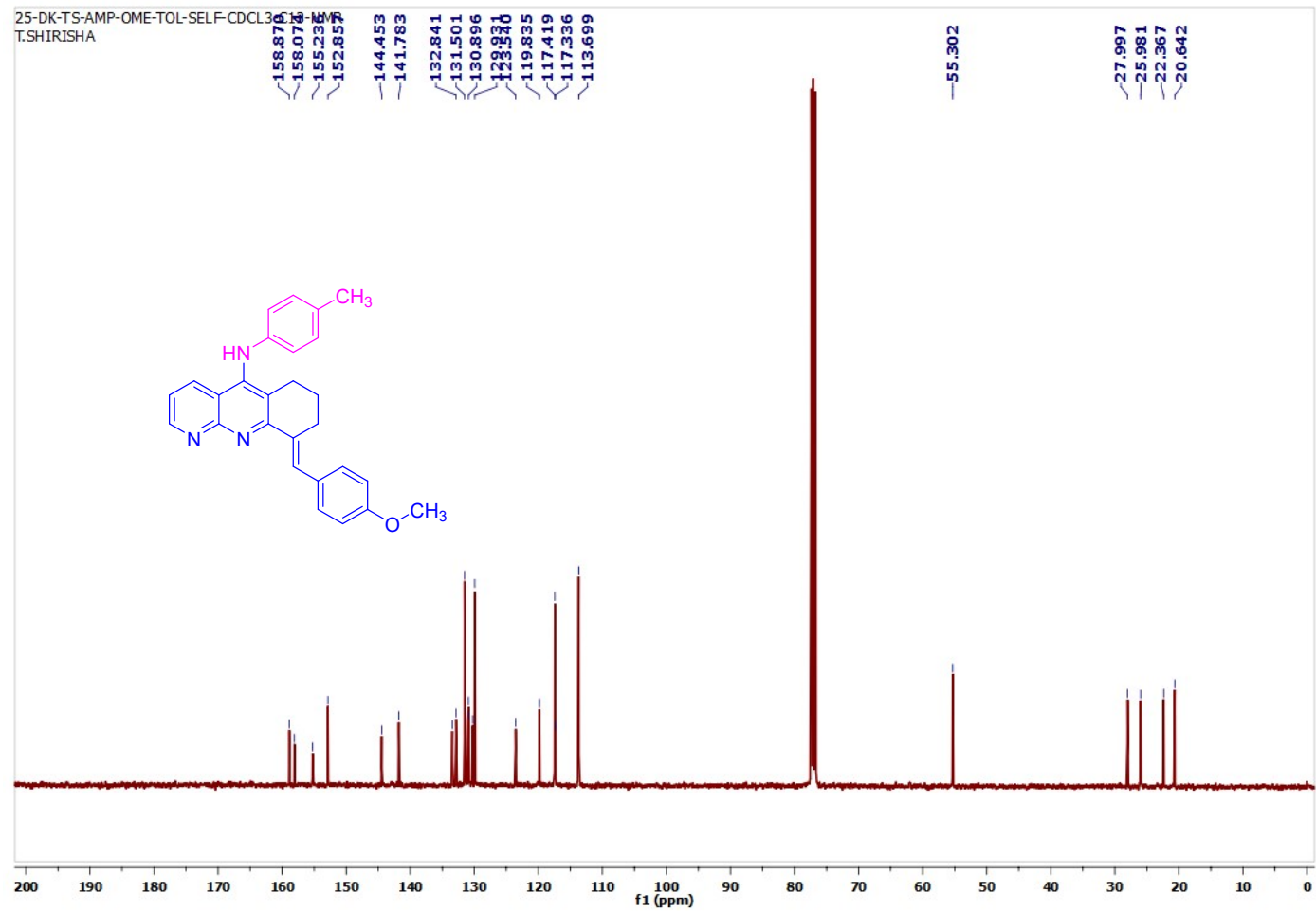


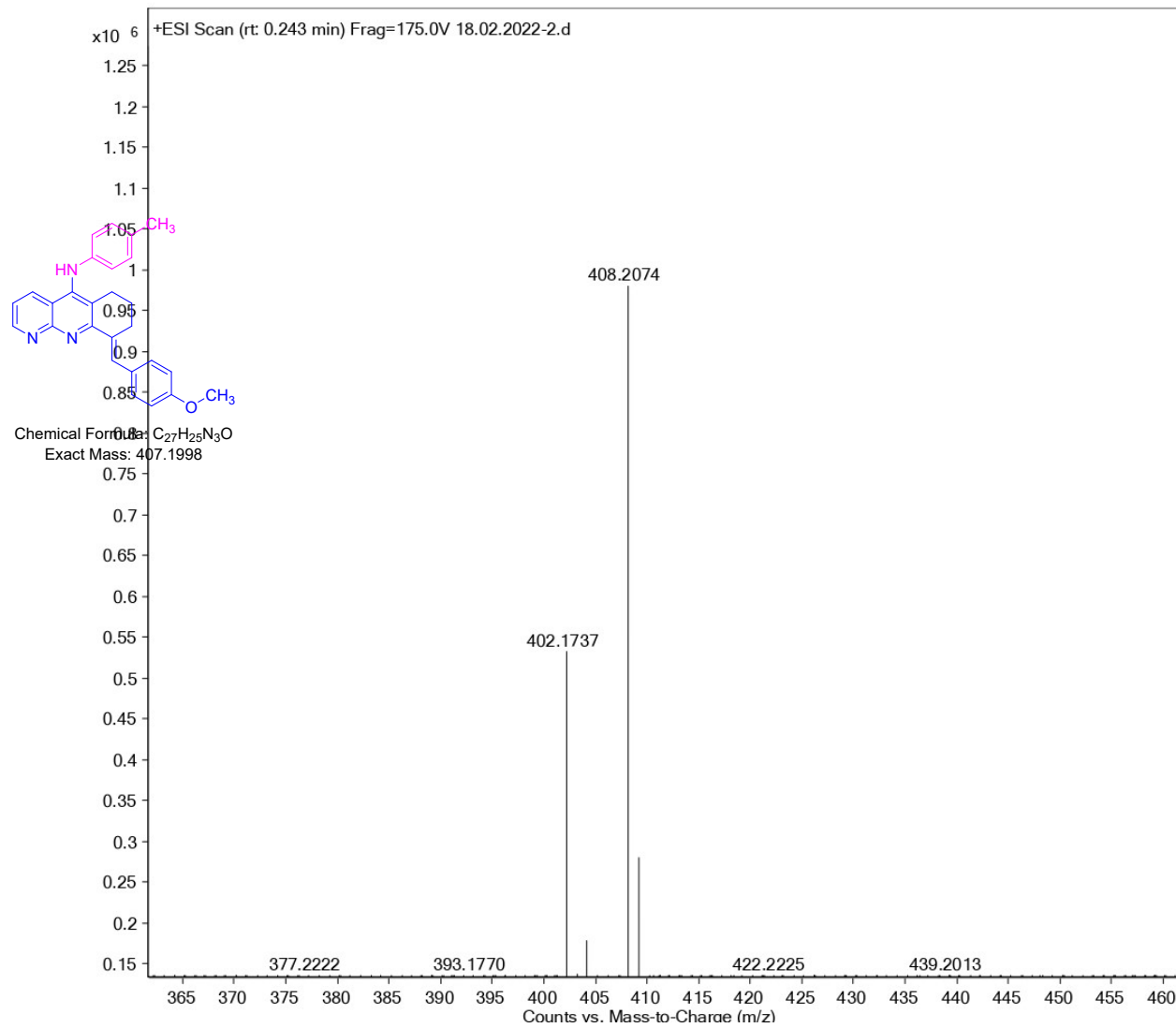
S171

*(E)*-9-(4-Methoxybenzylidene)-*N*-(*p*-tolyl)-6,7,8,9-tetrahydrobenzo[*b*][1,8]naphthyridin-5-amine (**8i**):



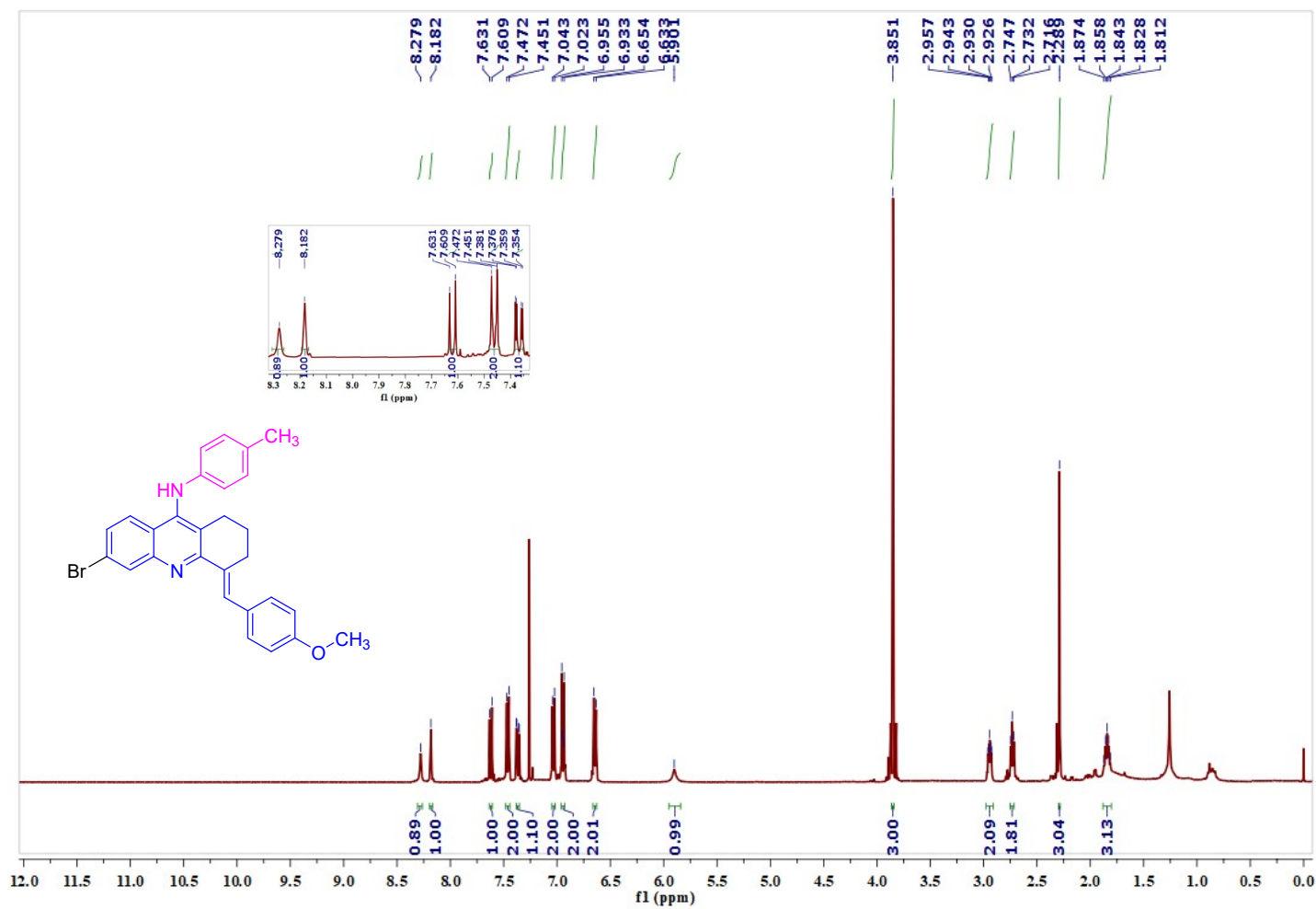


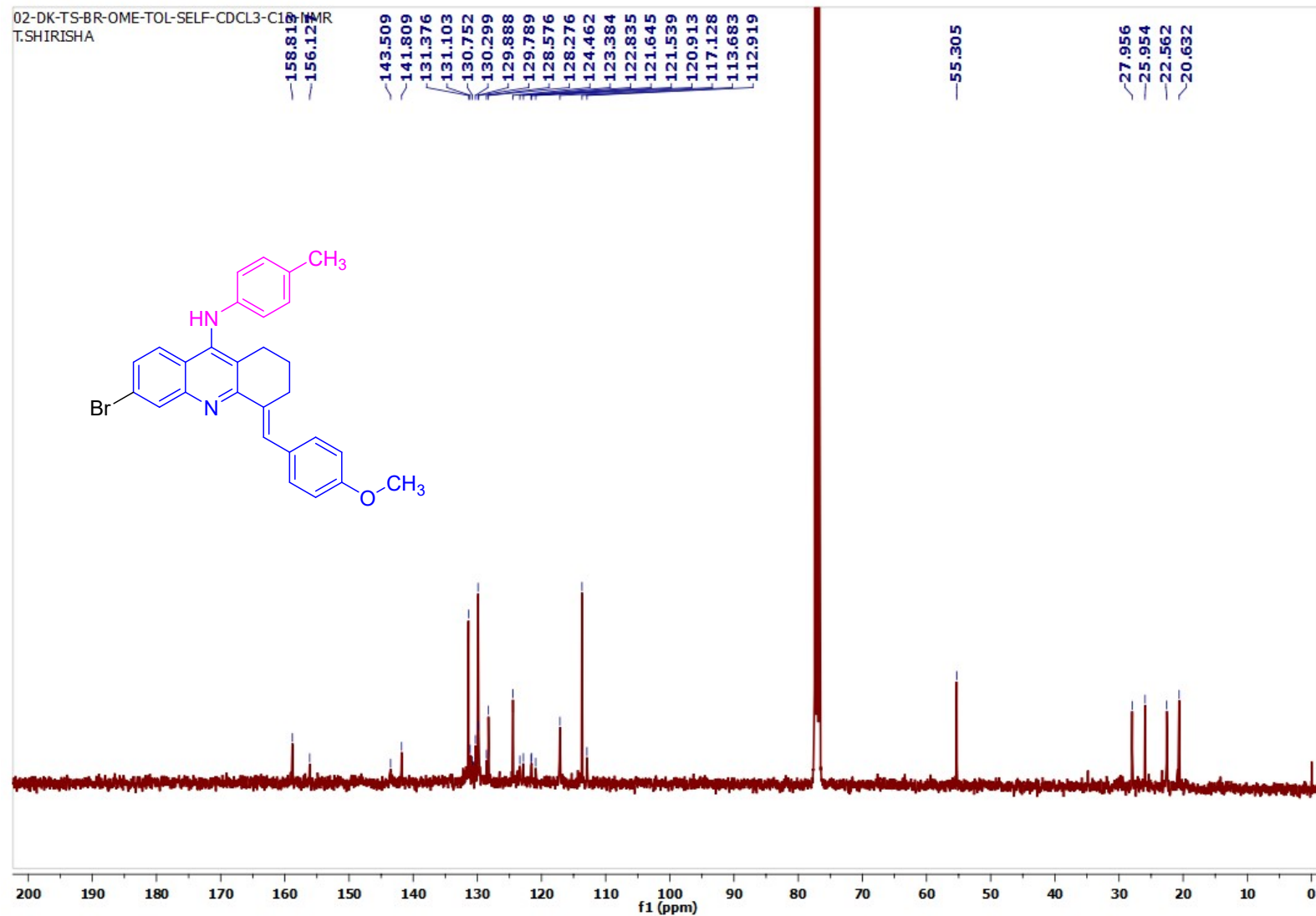


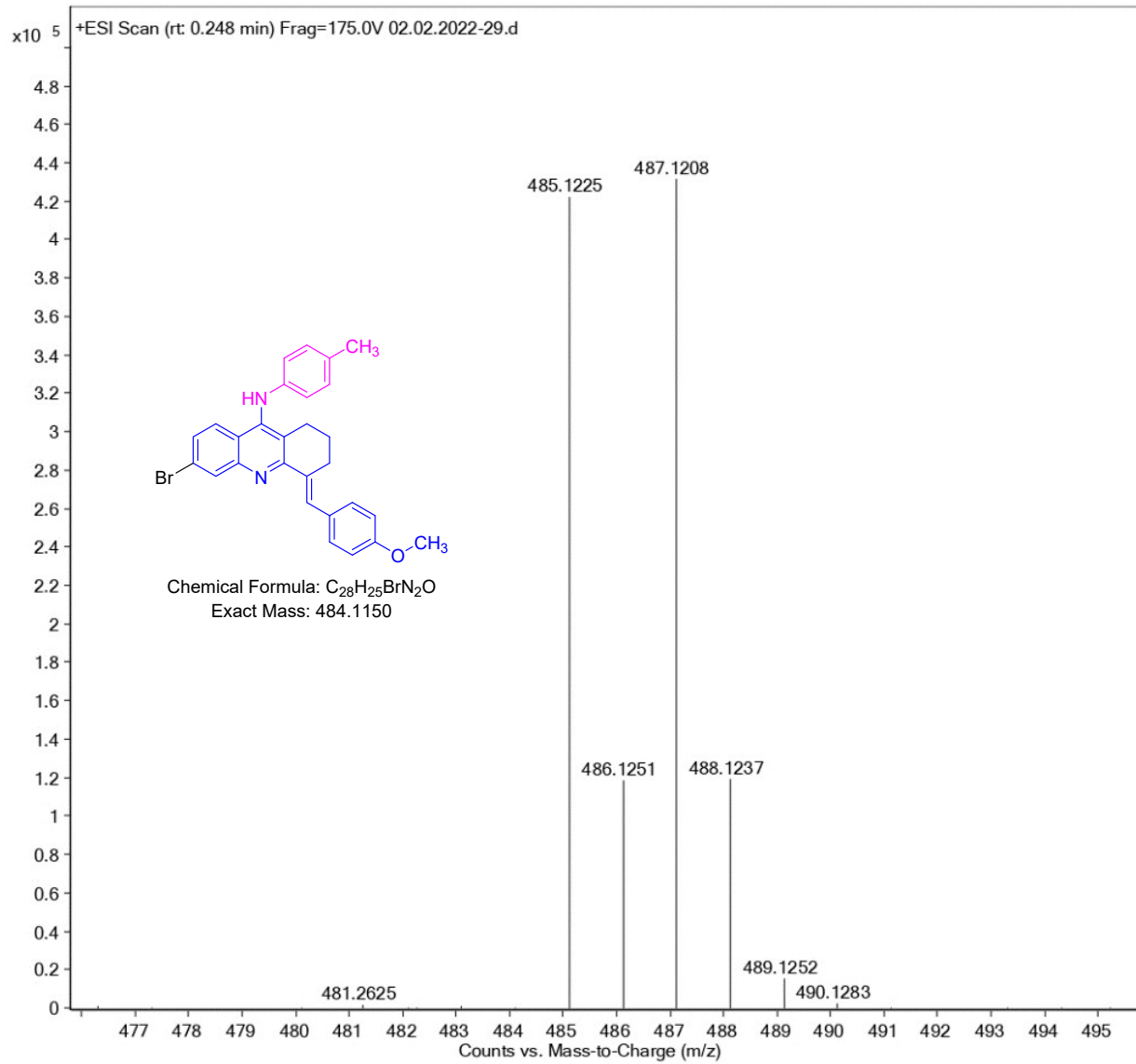


S174

*(E)*-6-Bromo-4-(4-methoxybenzylidene)-*N*-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (**8j**):

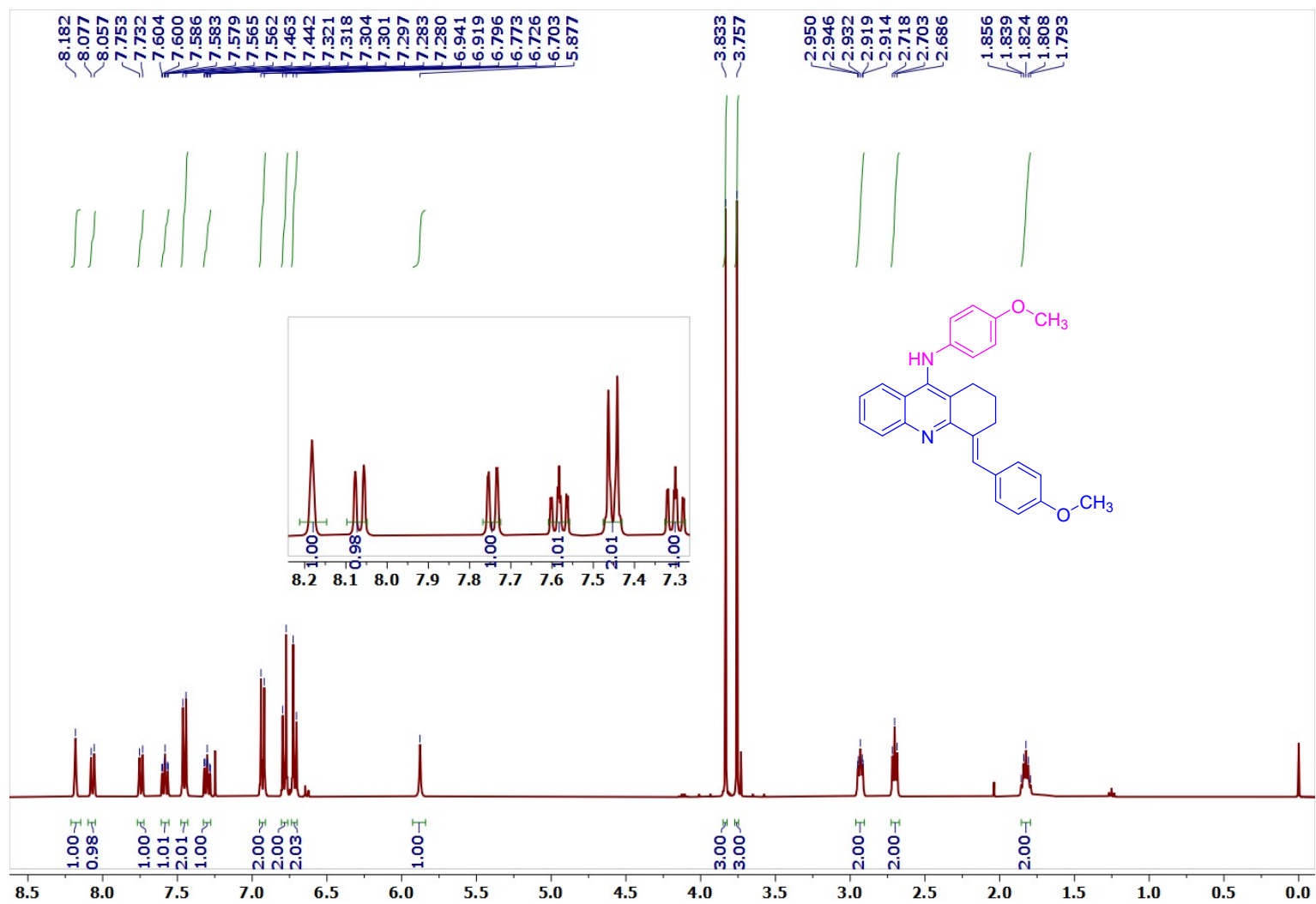


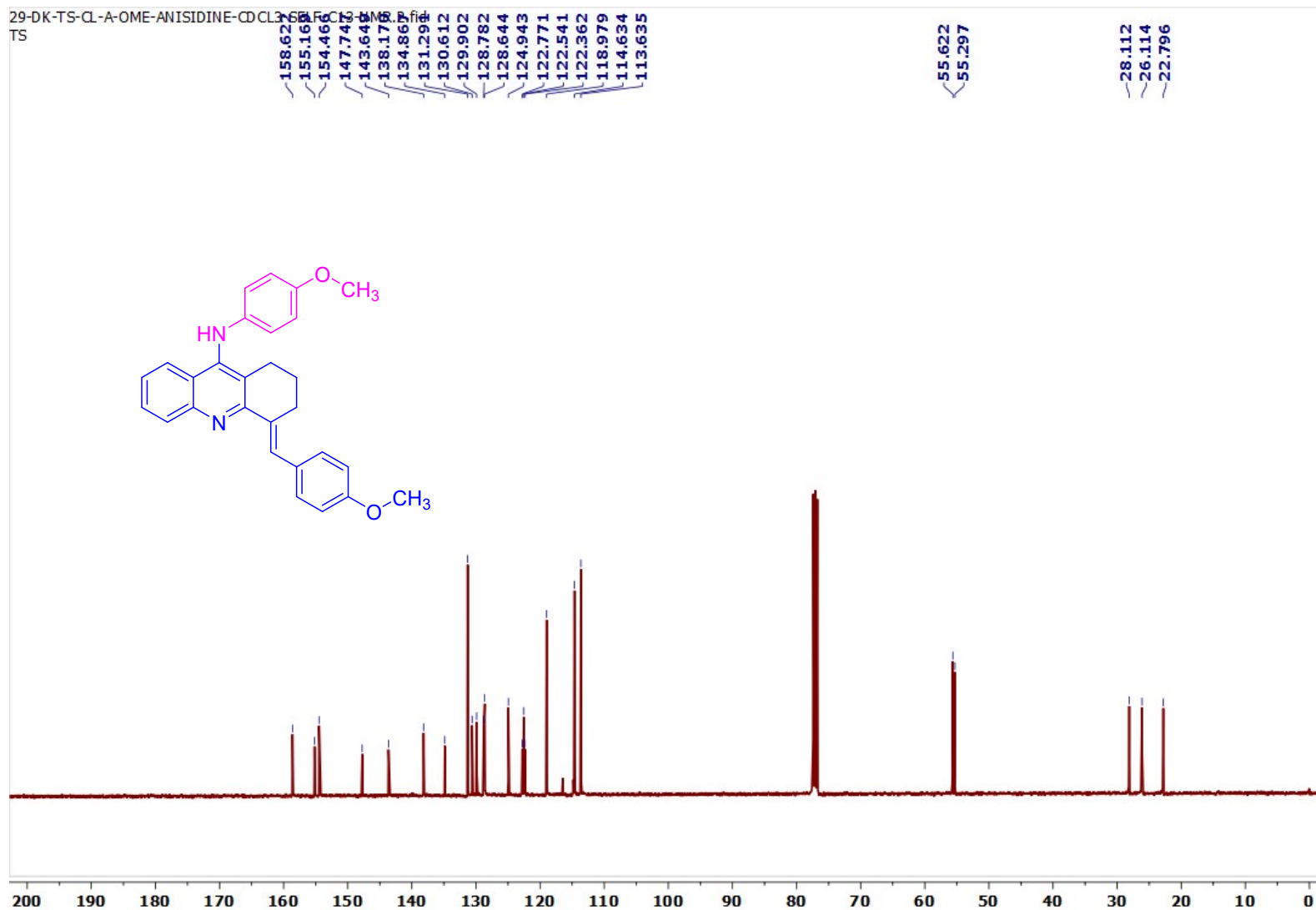


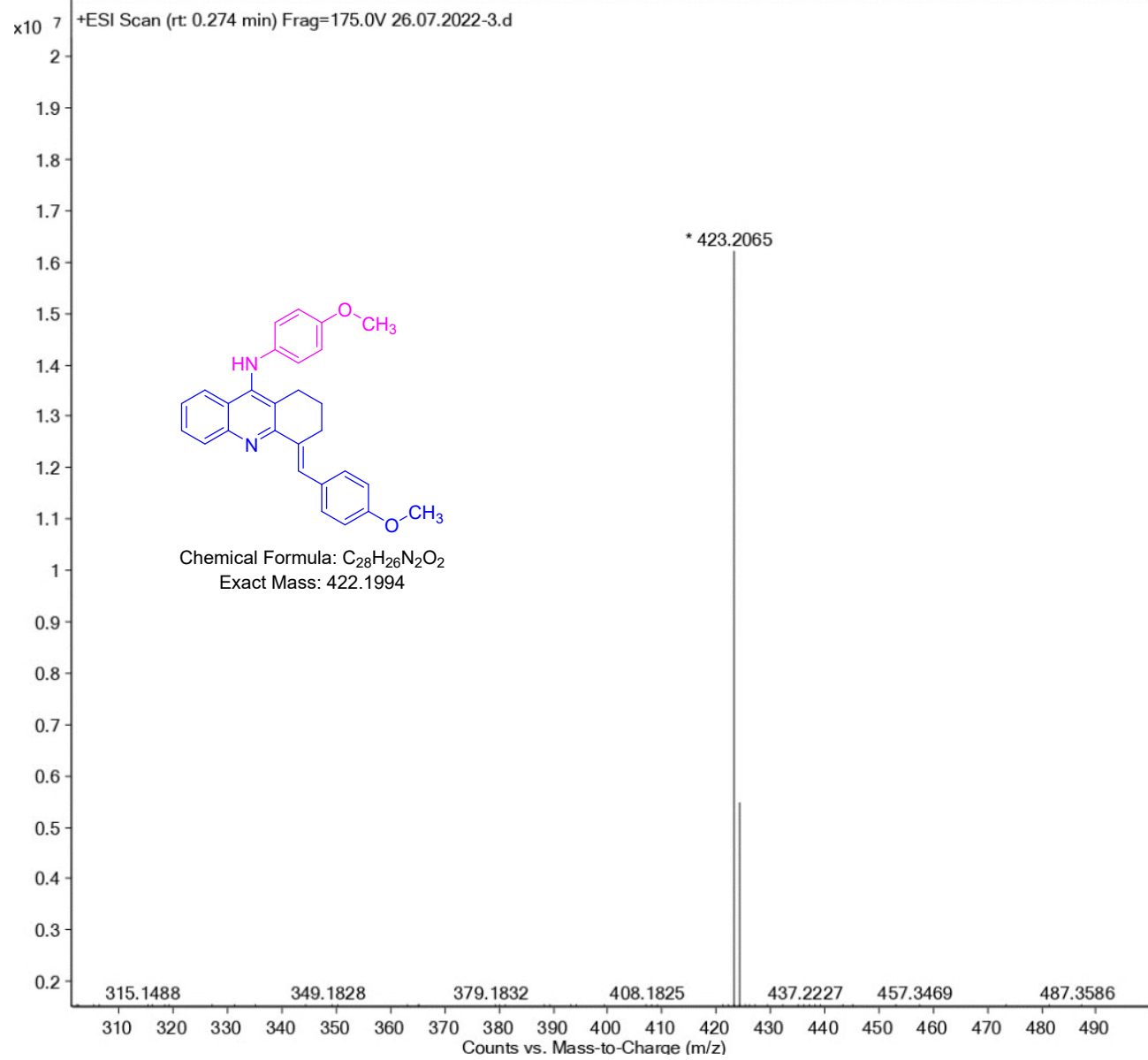


S177

*(E)*-4-(4-Methoxybenzylidene)-*N*-(4-methoxyphenyl)-1,2,3,4-tetrahydroacridin-9-amine(8k):



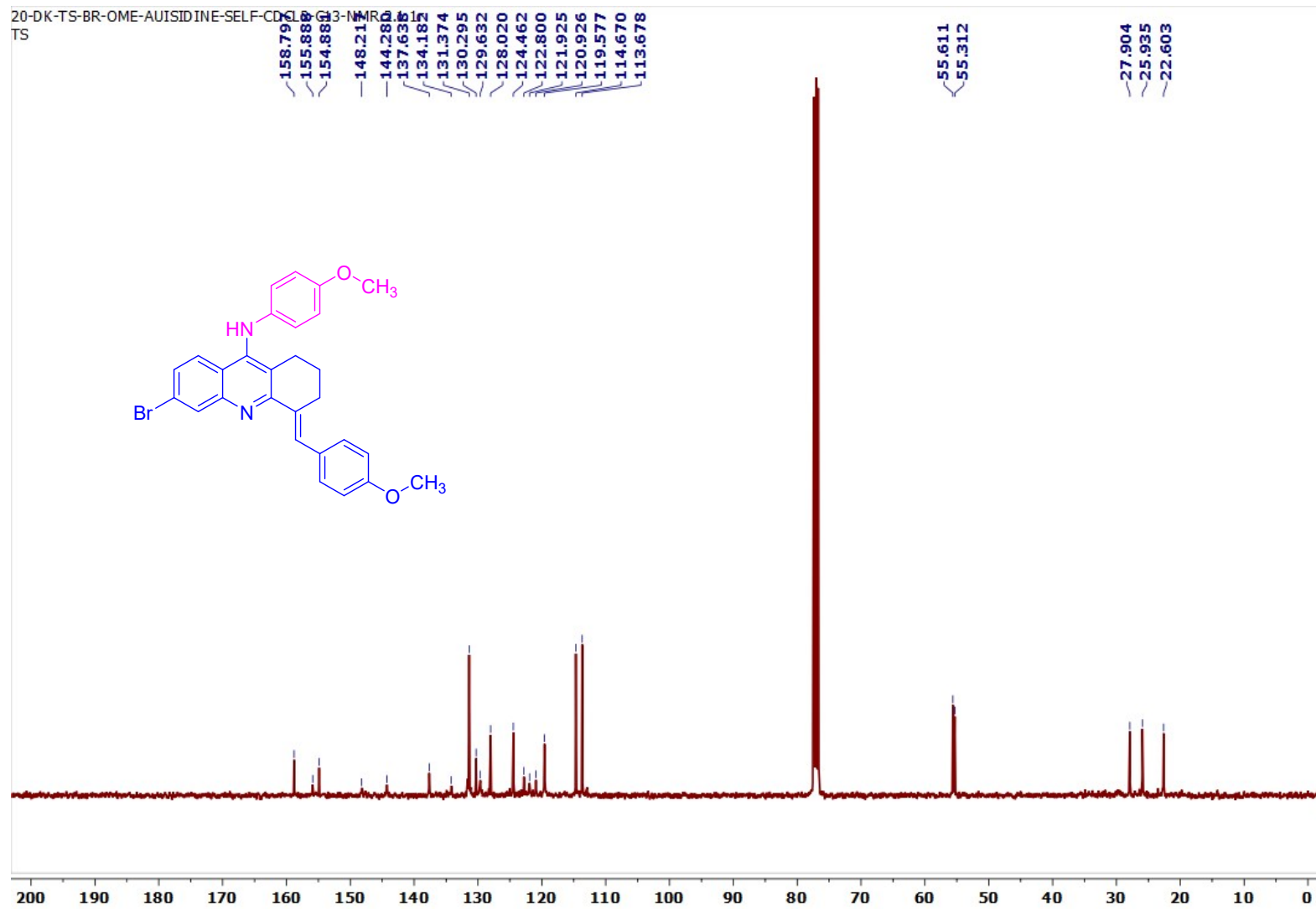


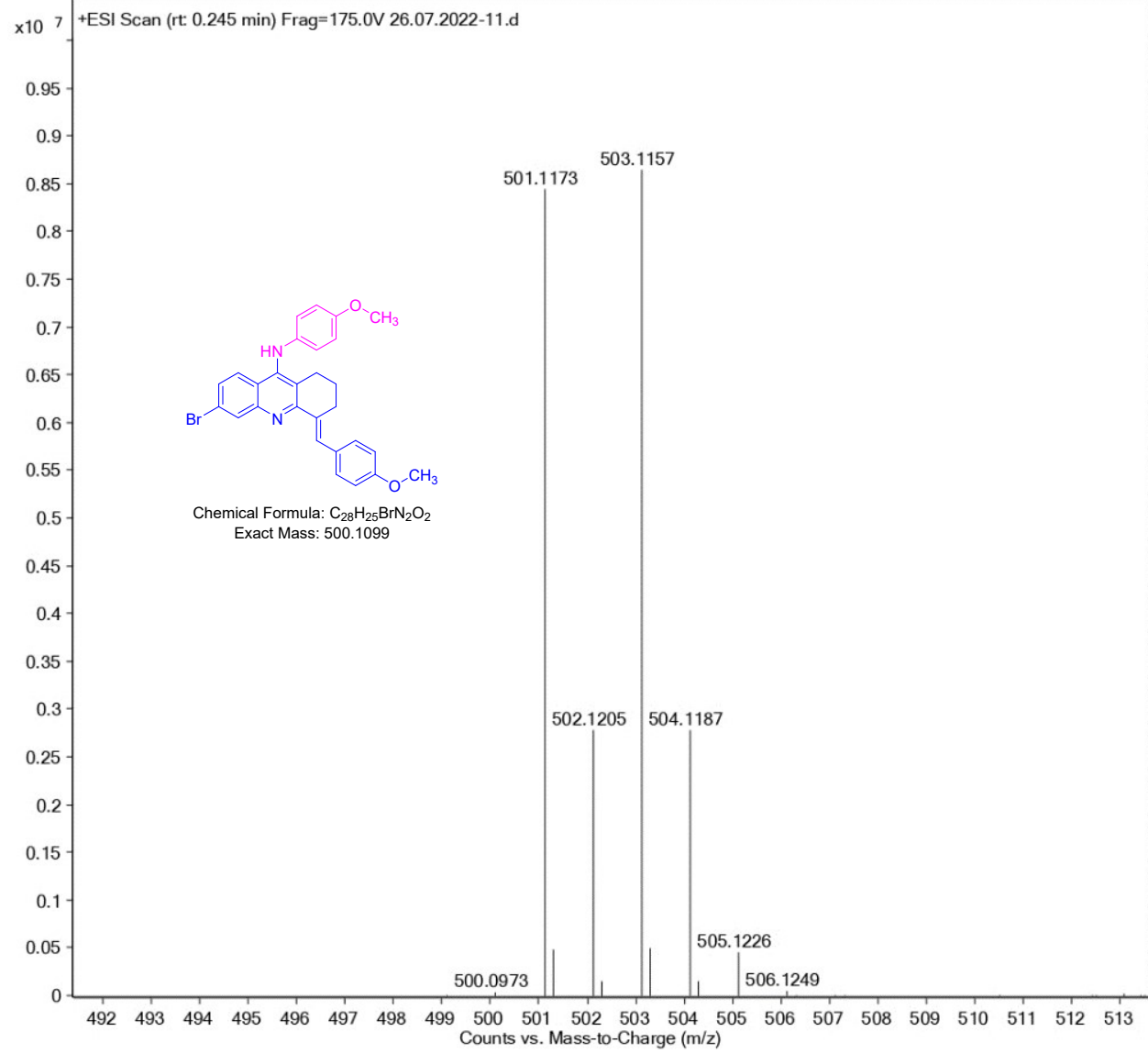


S180

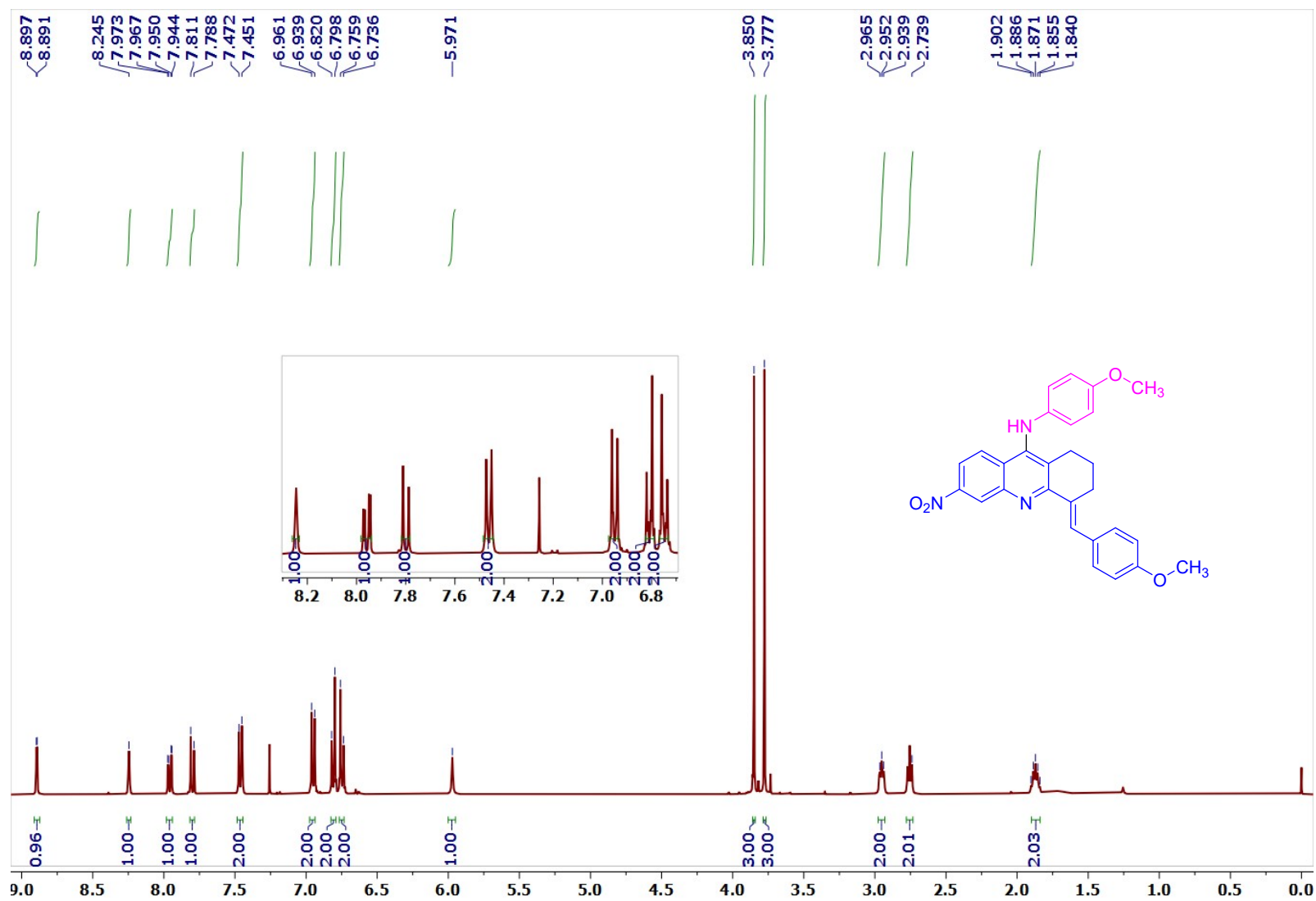


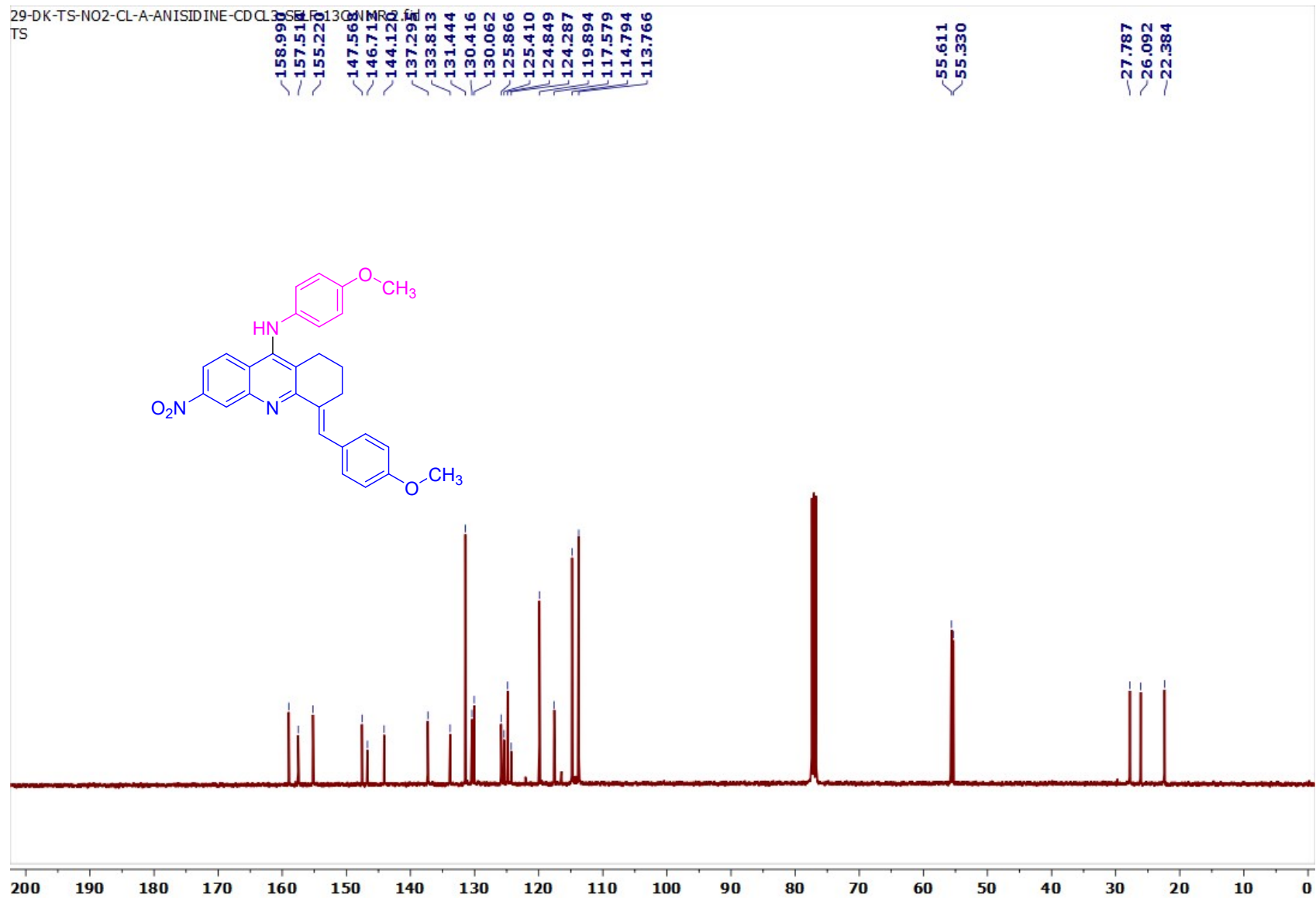


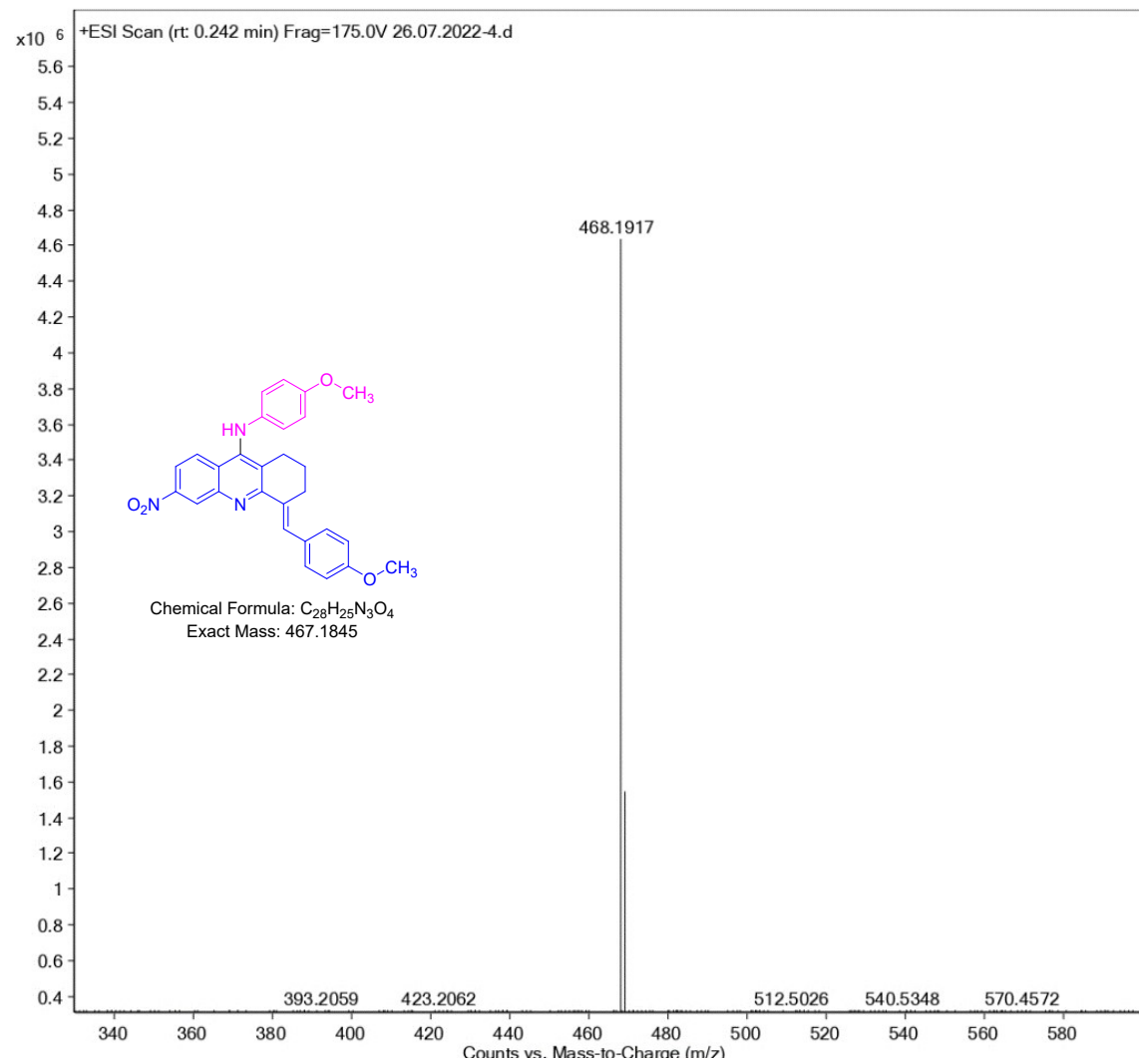




*(E)*-4-(4-Methoxybenzylidene)-*N*-(4-methoxyphenyl)-6-nitro-1,2,3,4-tetrahydroacridin-9-amine(8m):

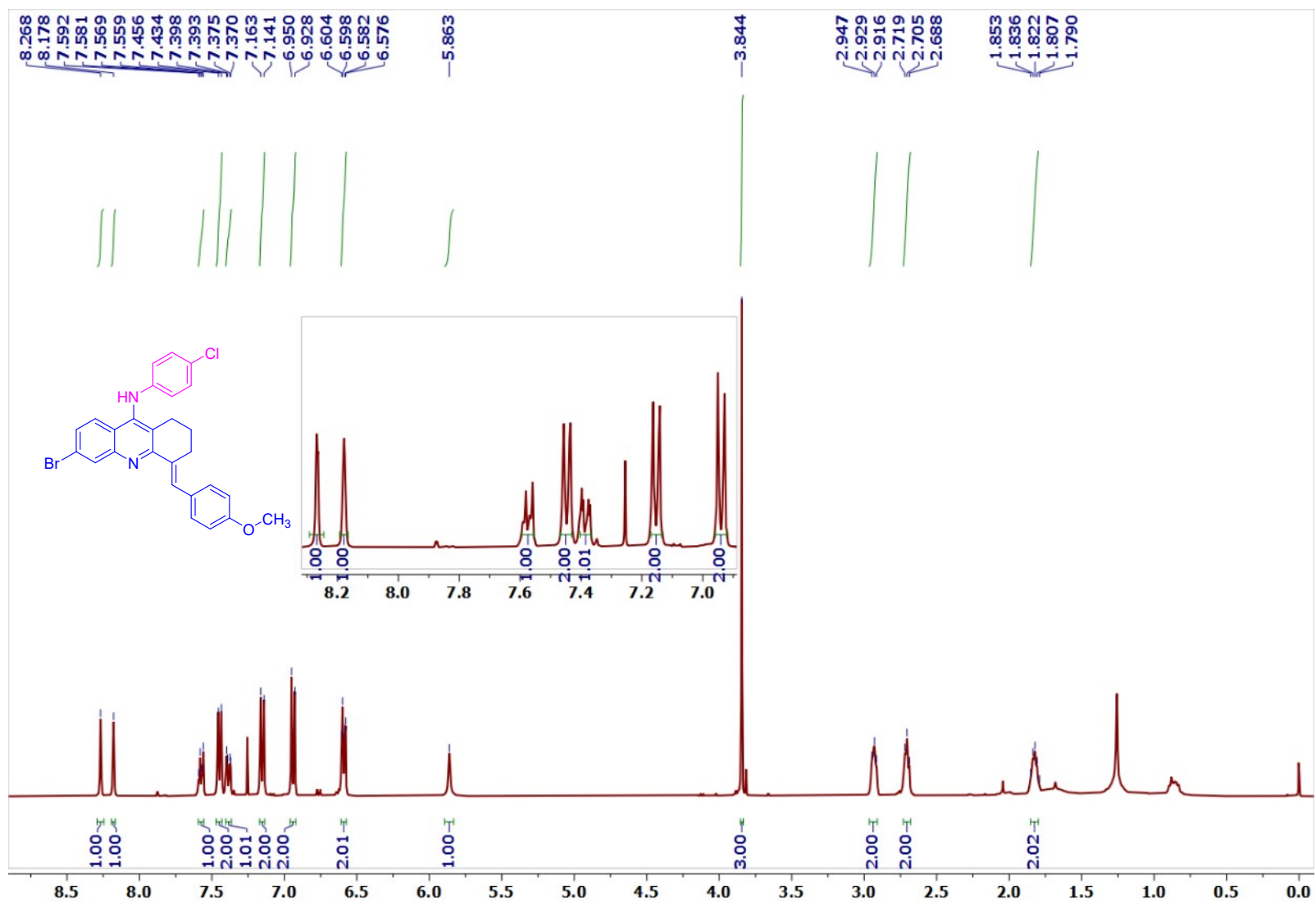




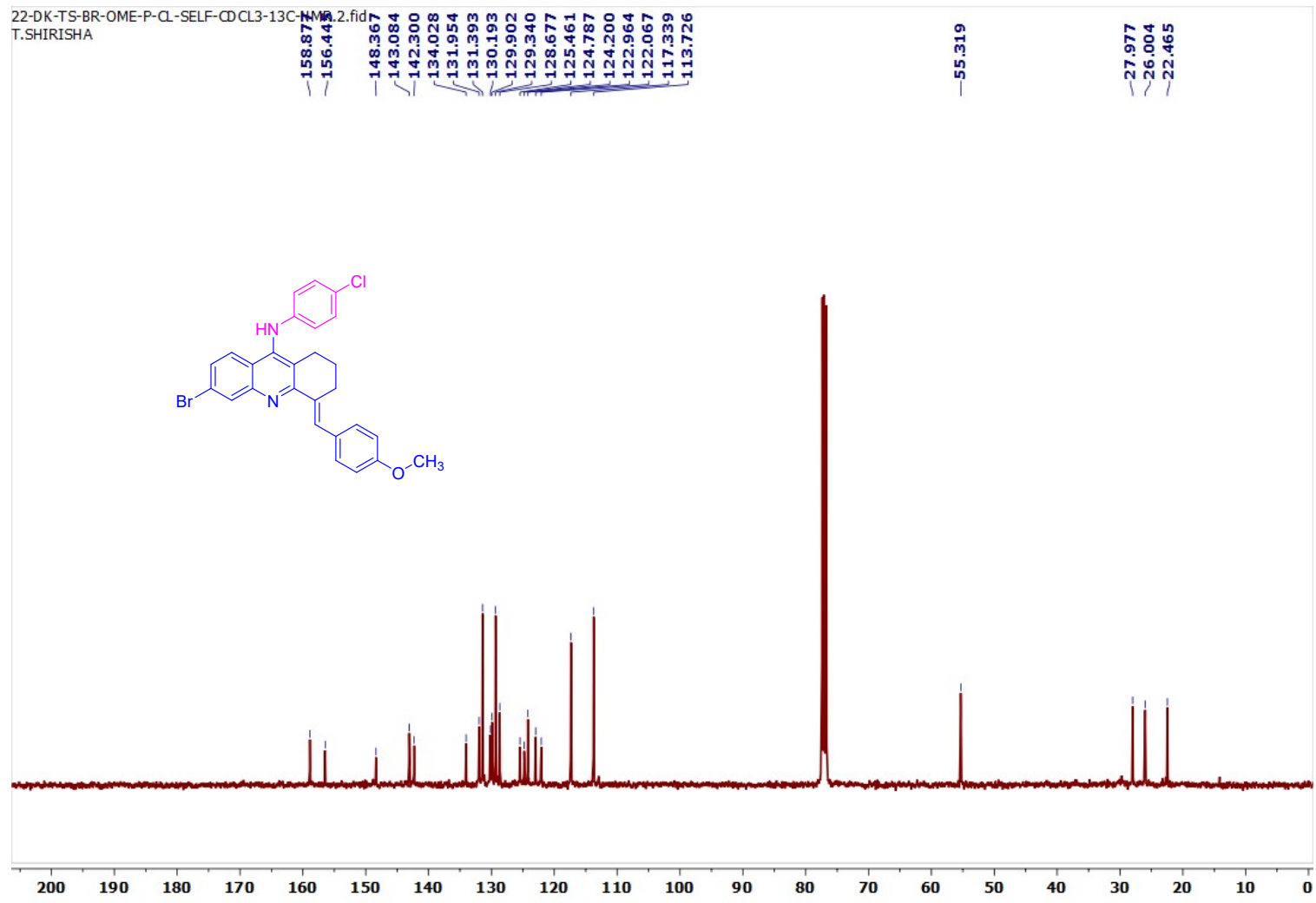


S186

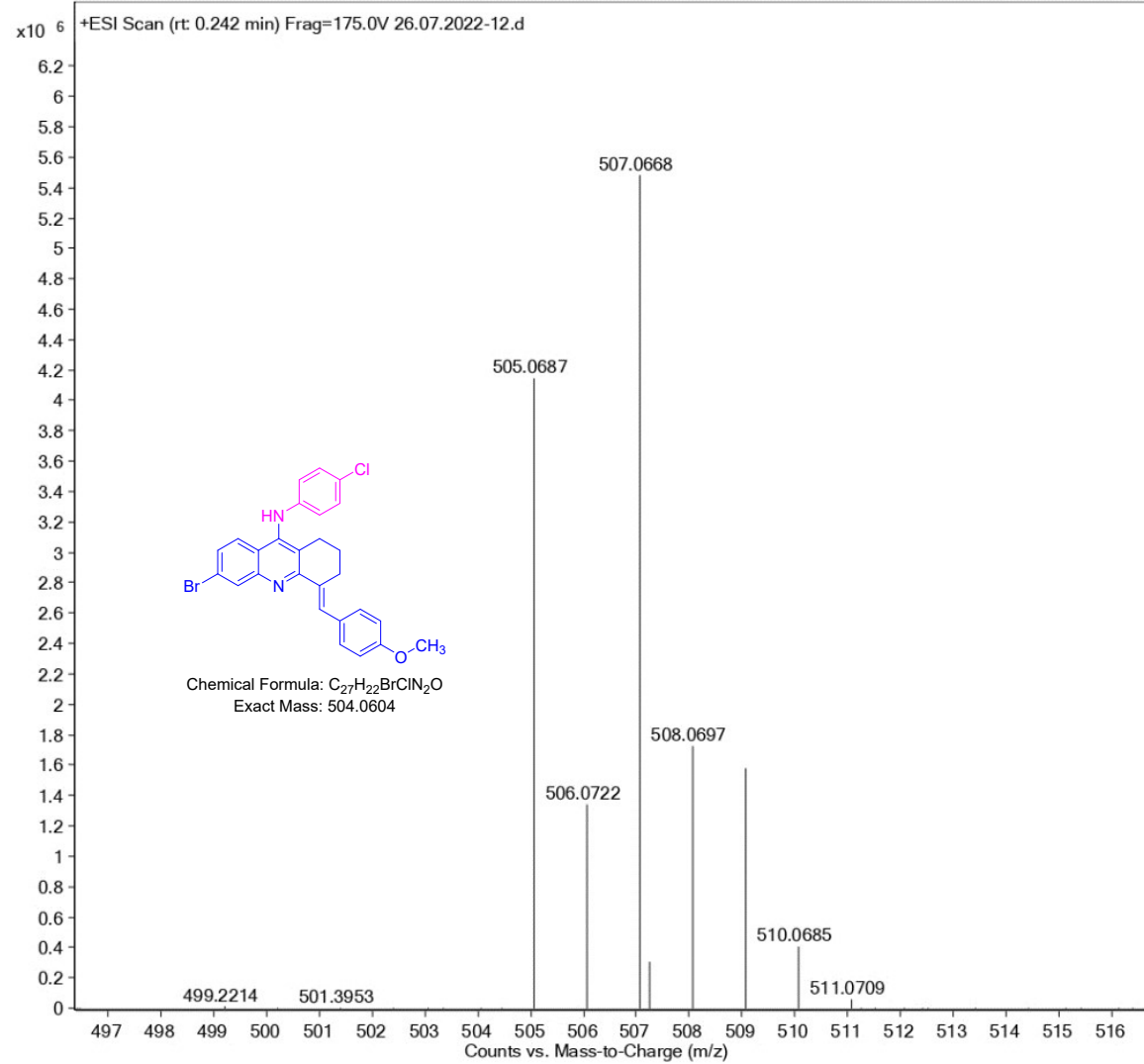
*(E)*-6-Bromo-*N*-(4-chlorophenyl)-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridin-9-amine (8n):



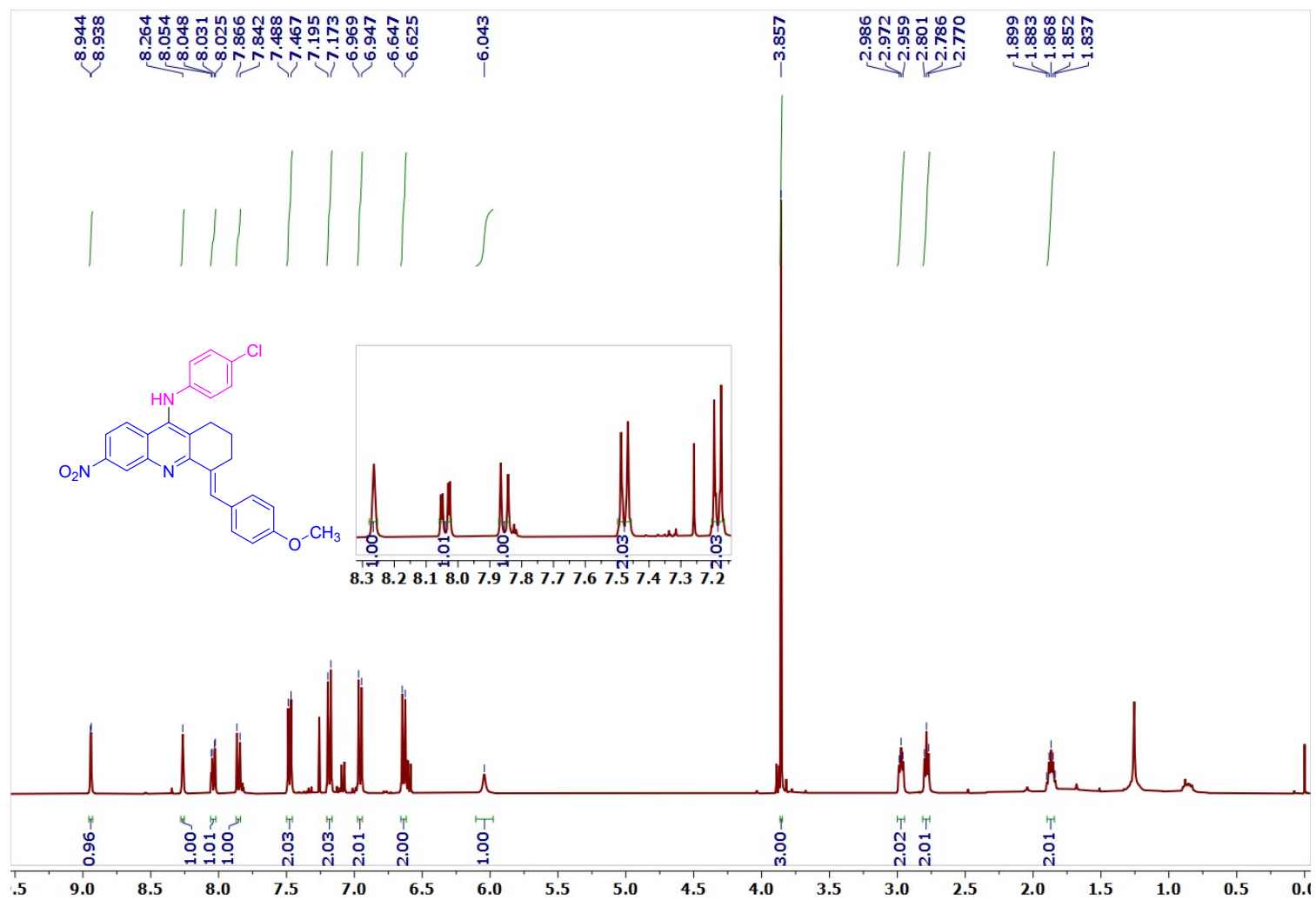
22-DK-TS-BR-OME-P-CL-SELF-CDCL3-13C-  
T.SHIRISHA

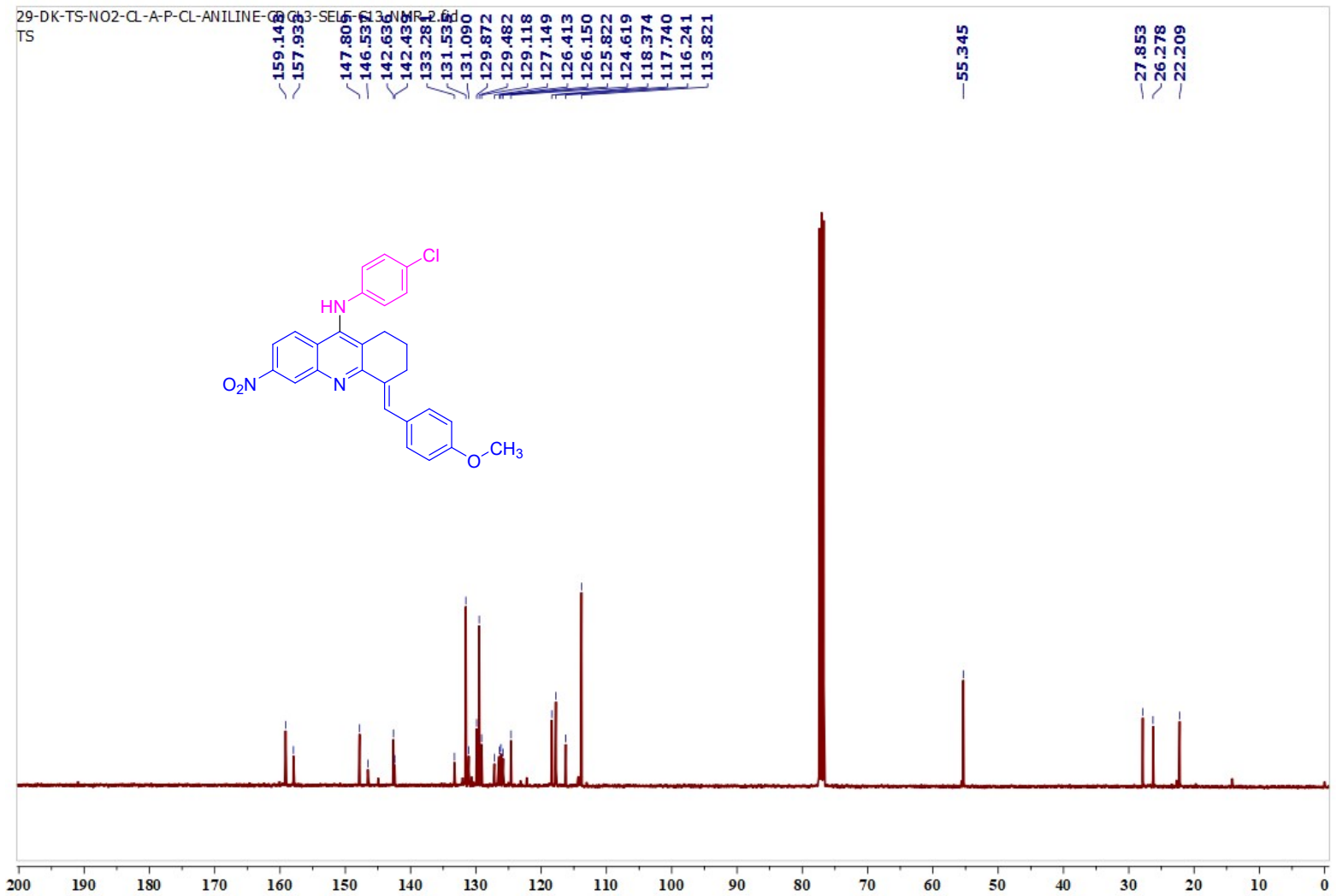


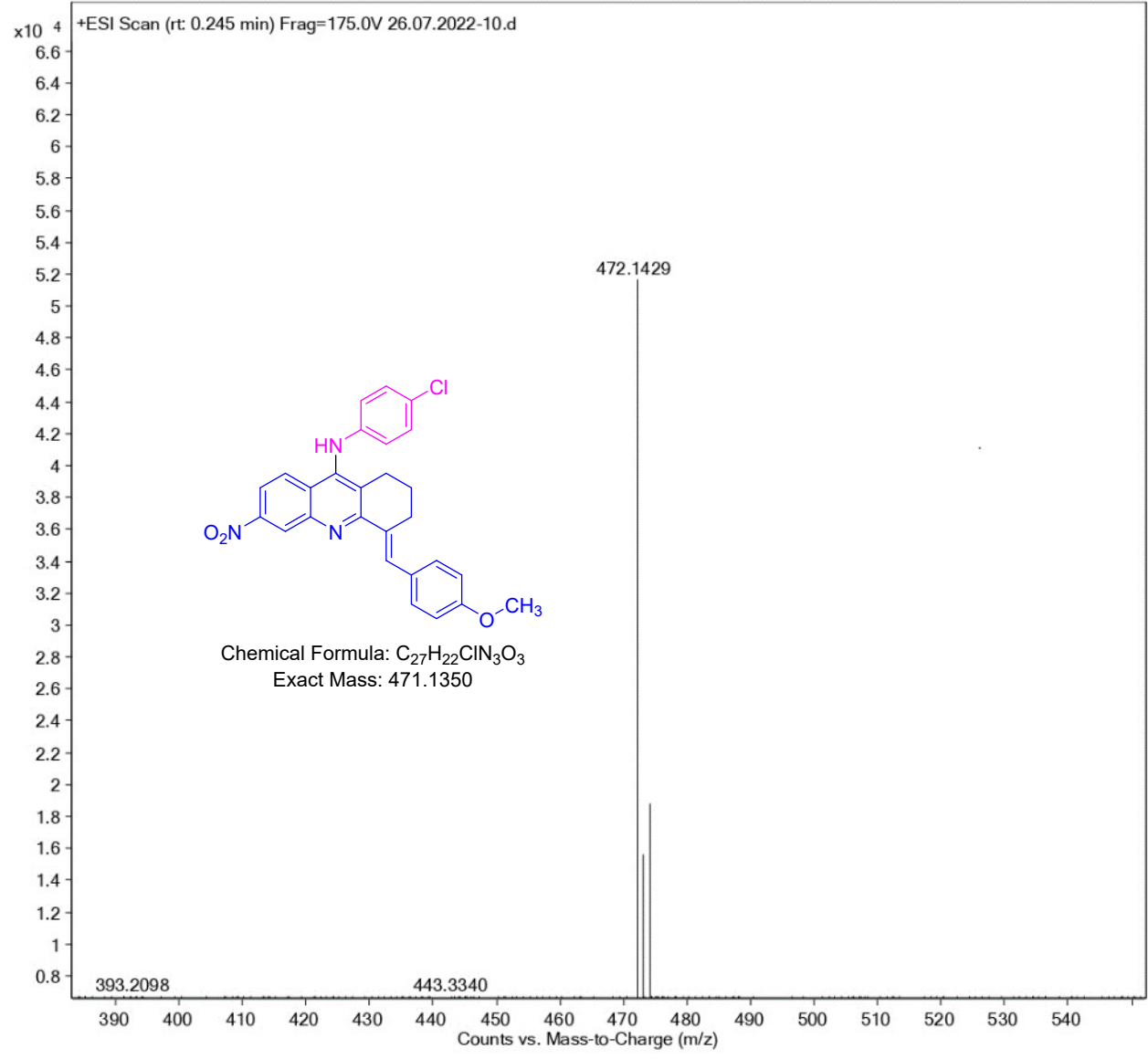




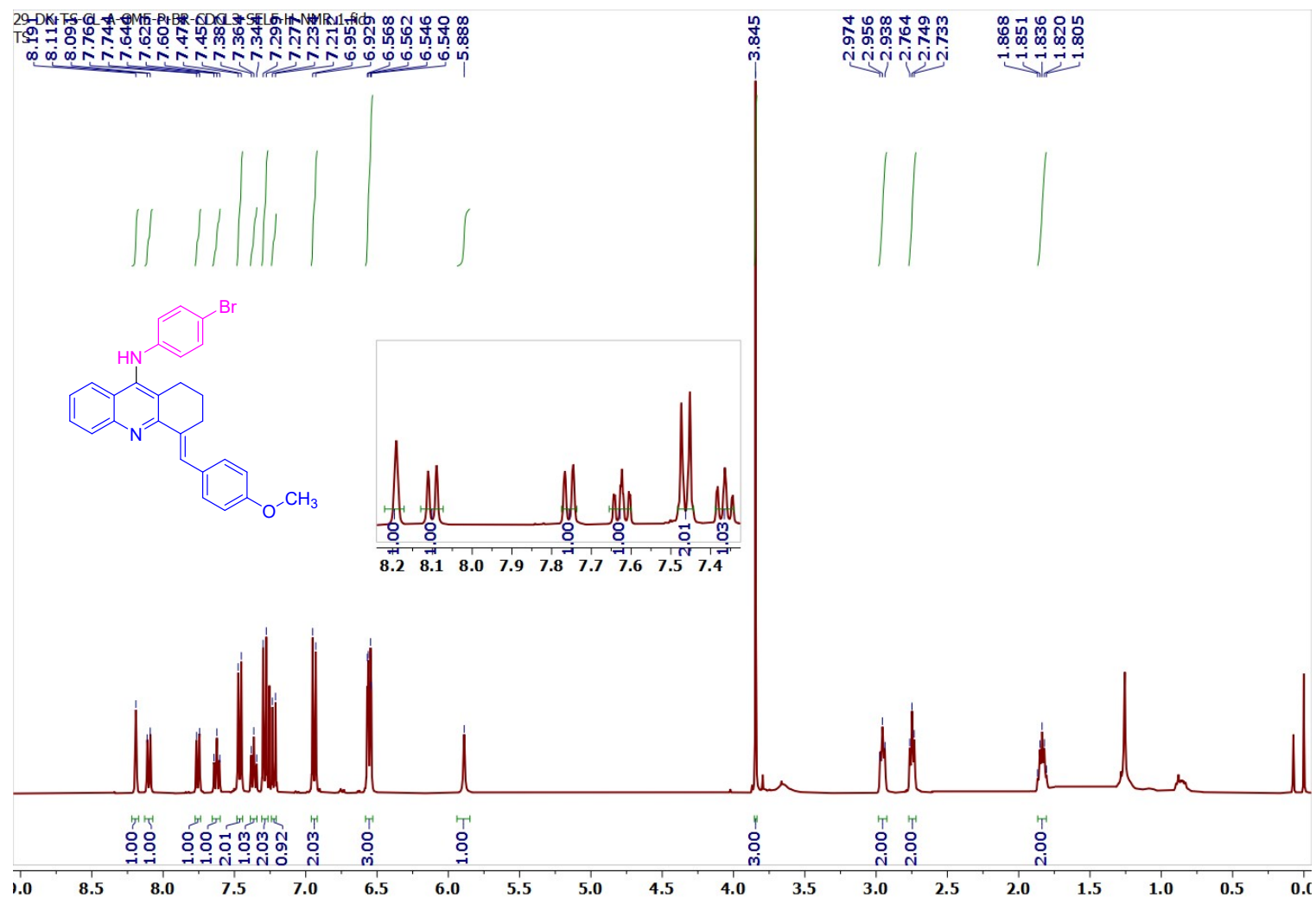
*(E)*-N-(4-Chlorophenyl)-4-(4-methoxybenzylidene)-6-nitro-1,2,3,4-tetrahydroacridin-9-amine(8o):

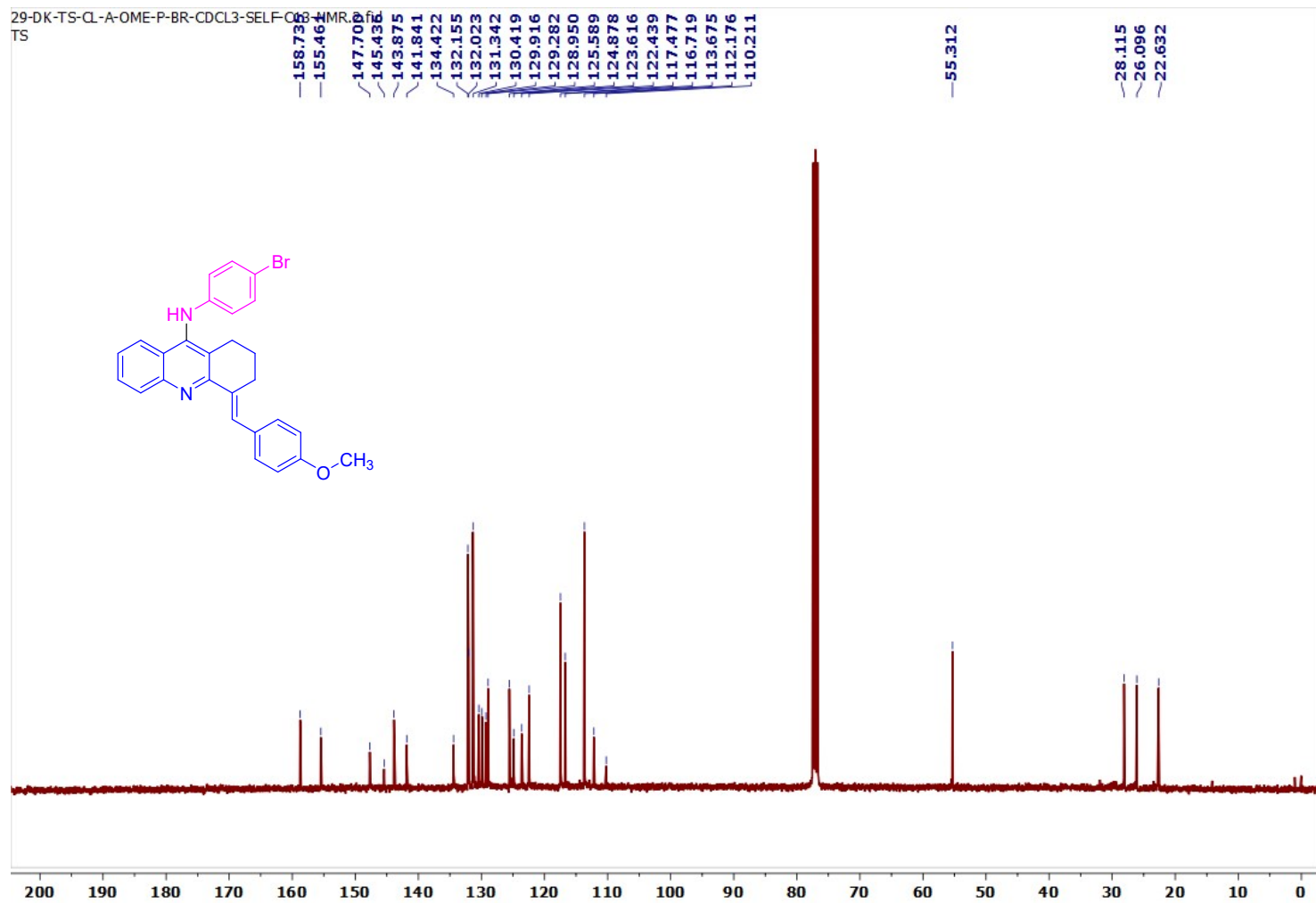


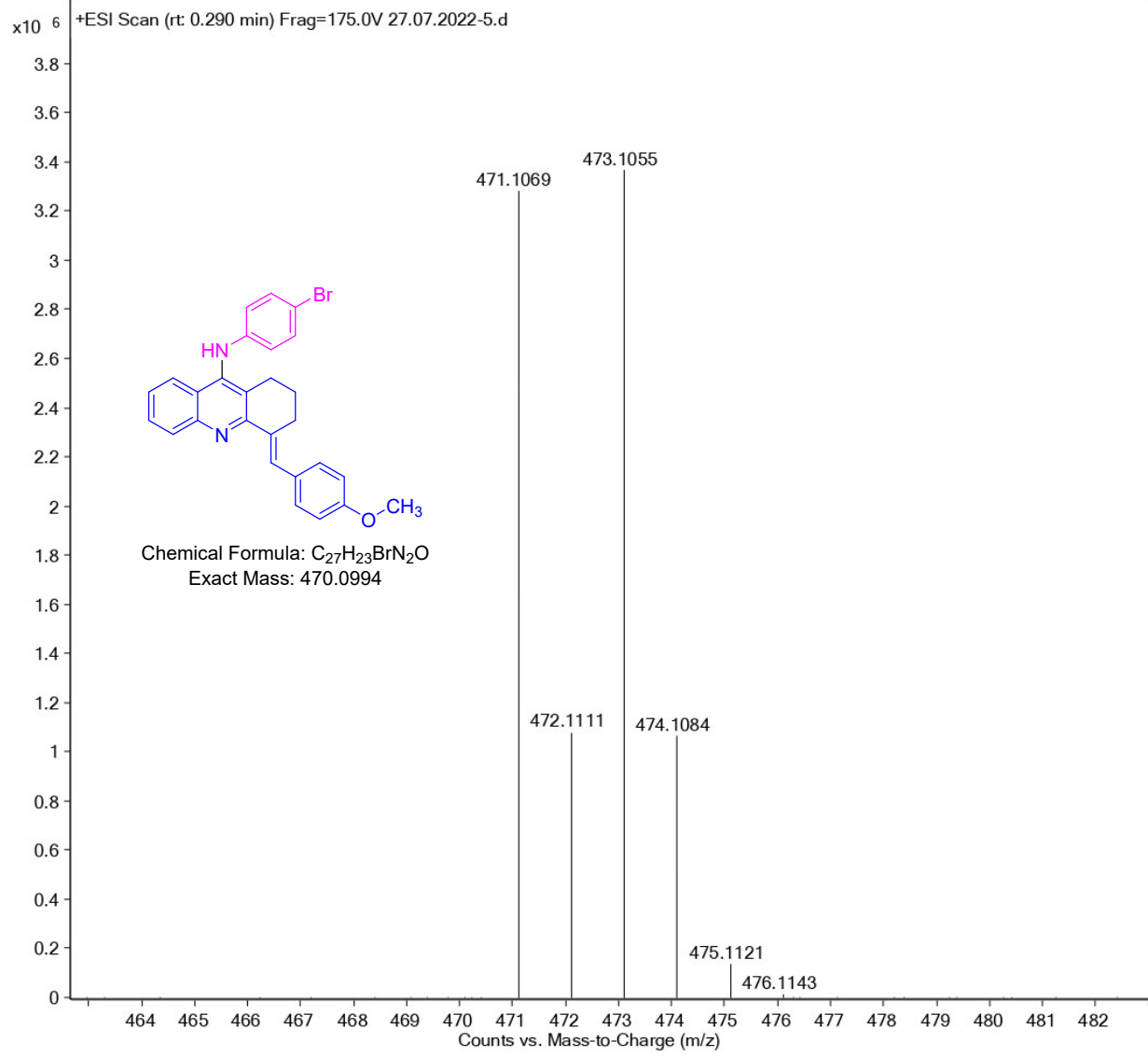




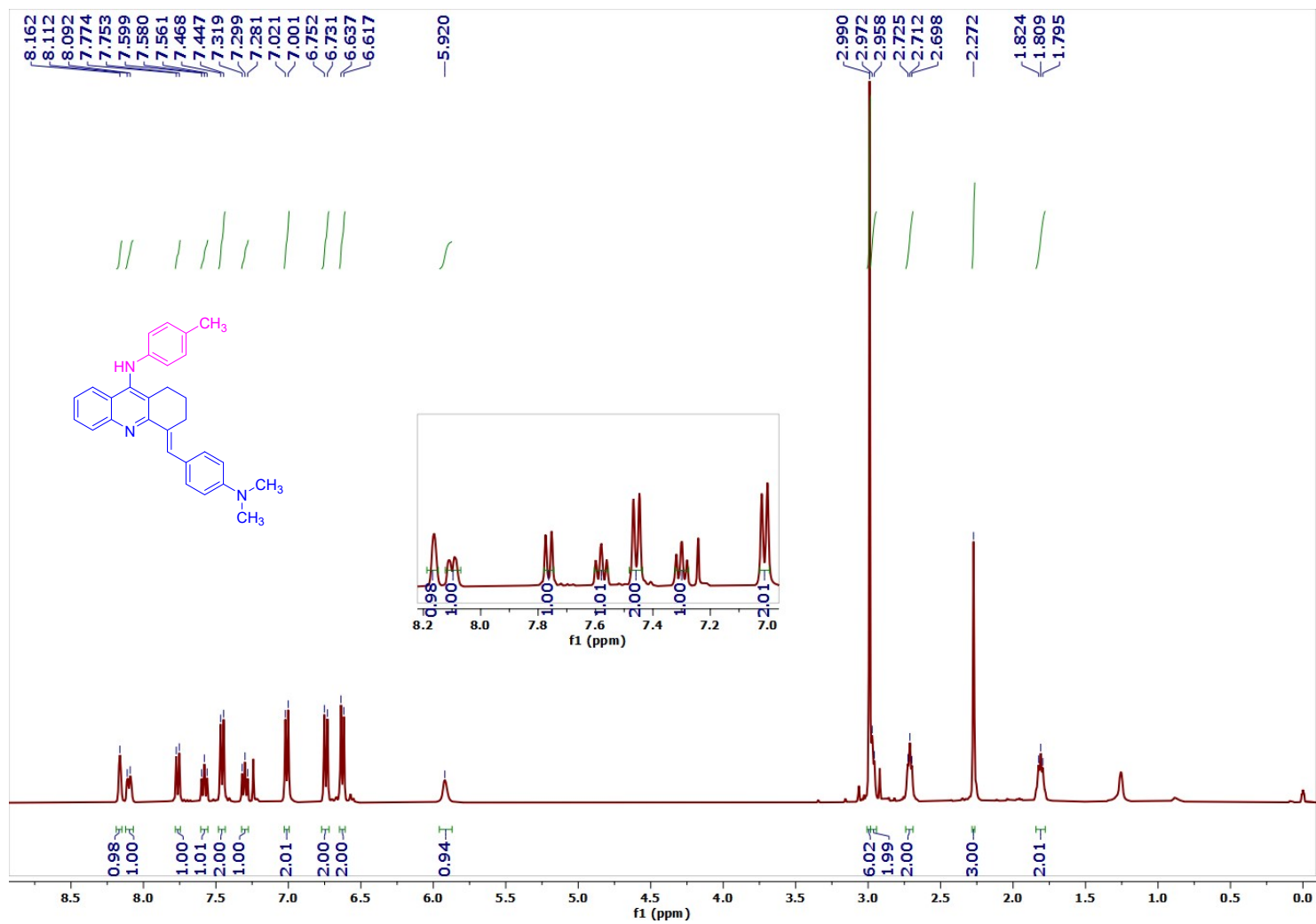
*(E)*-N-(4-Bromophenyl)-4-(4-methoxybenzylidene)-1,2,3,4-tetrahydroacridin-9-amine(8p):



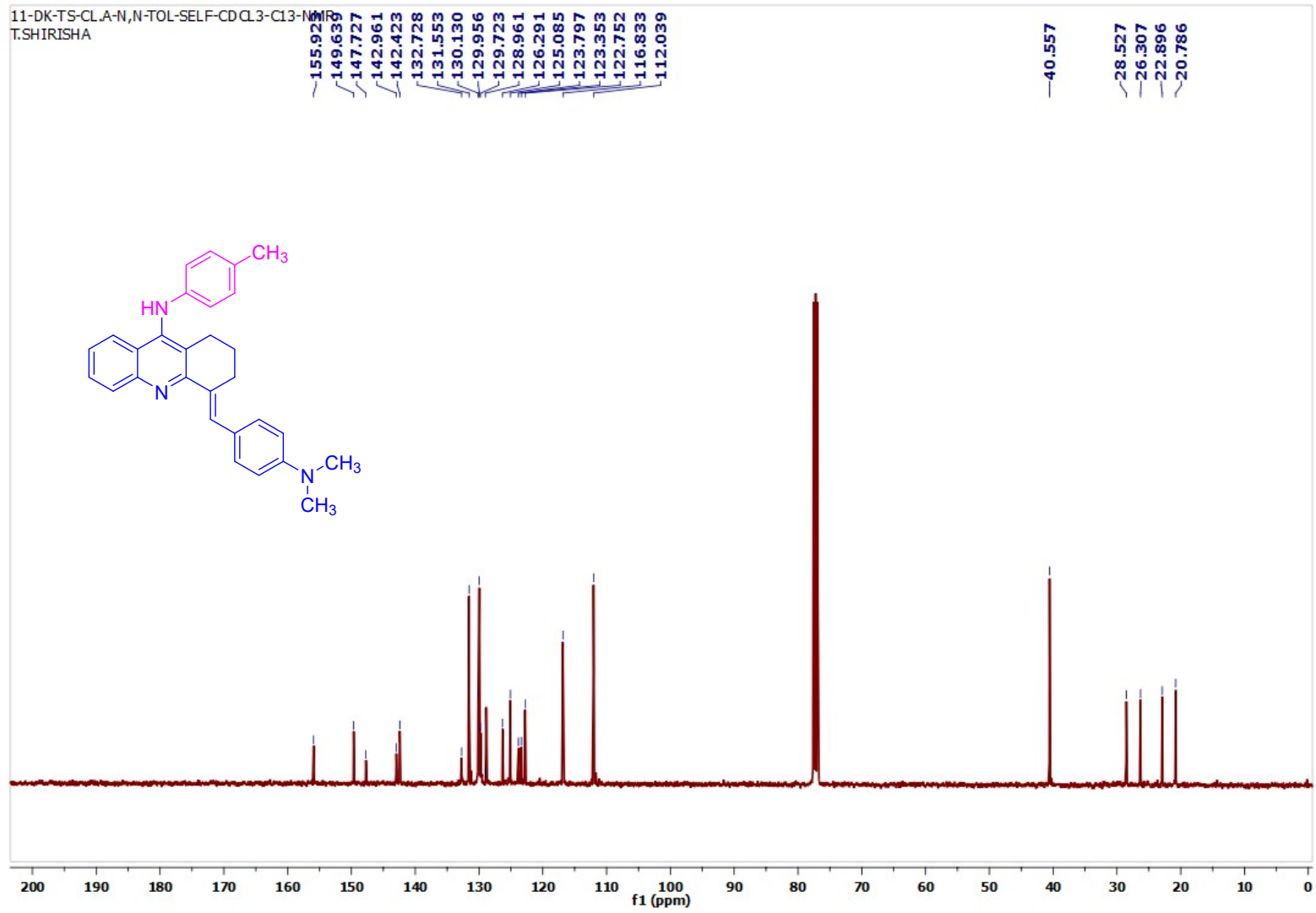


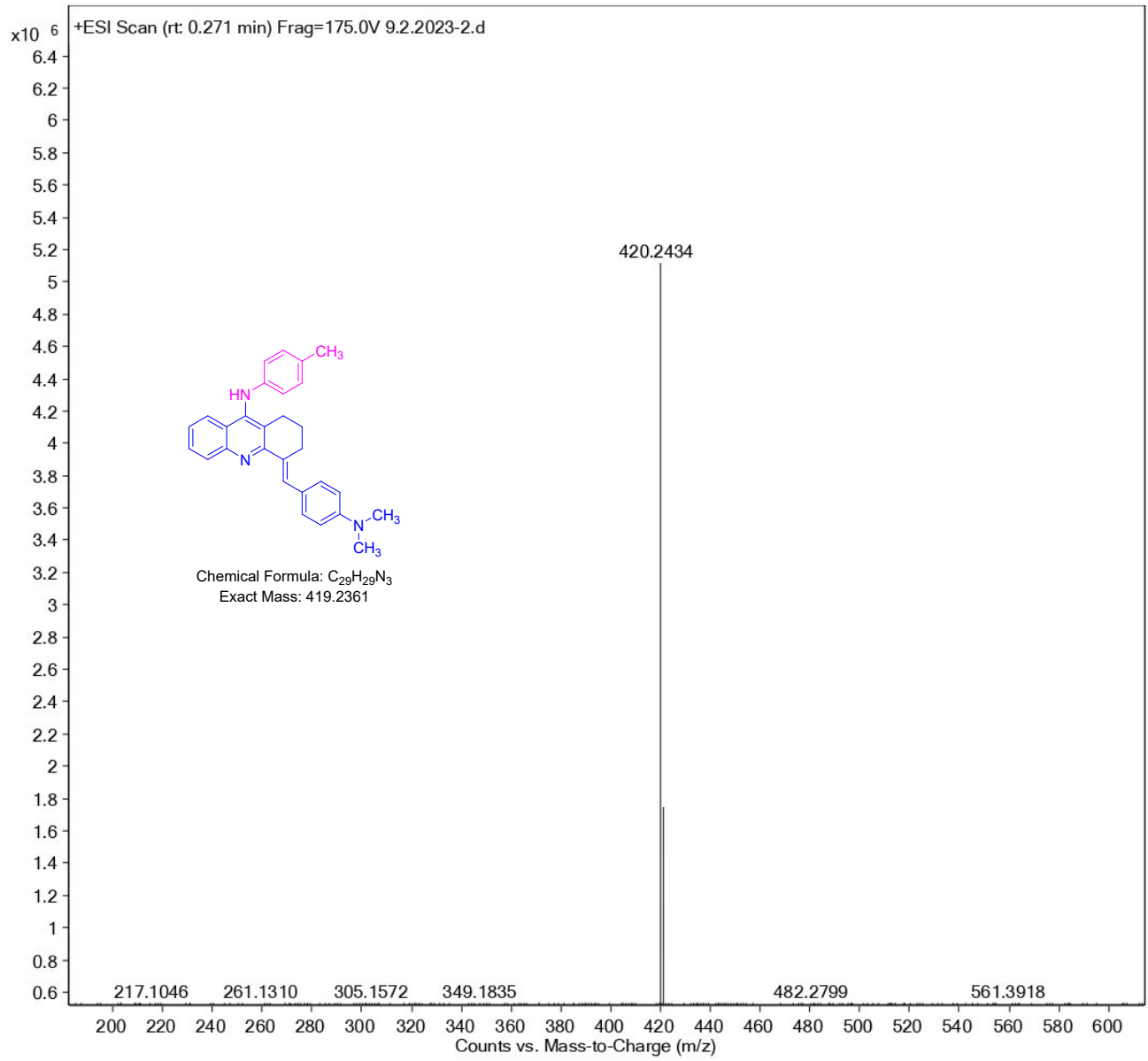


*(E)*-4-(4-(Dimethylamino)benzylidene)-*N*-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8q):



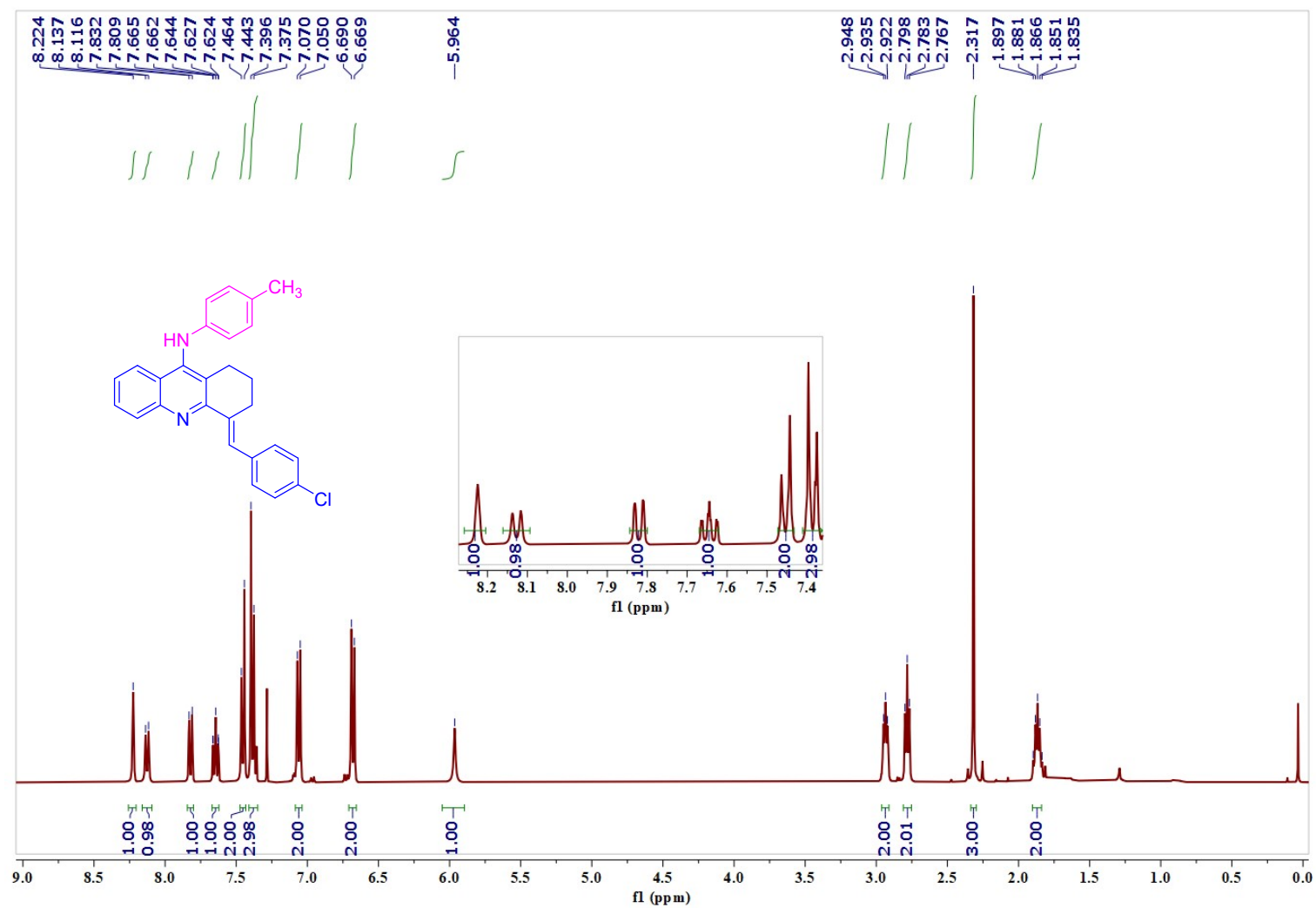


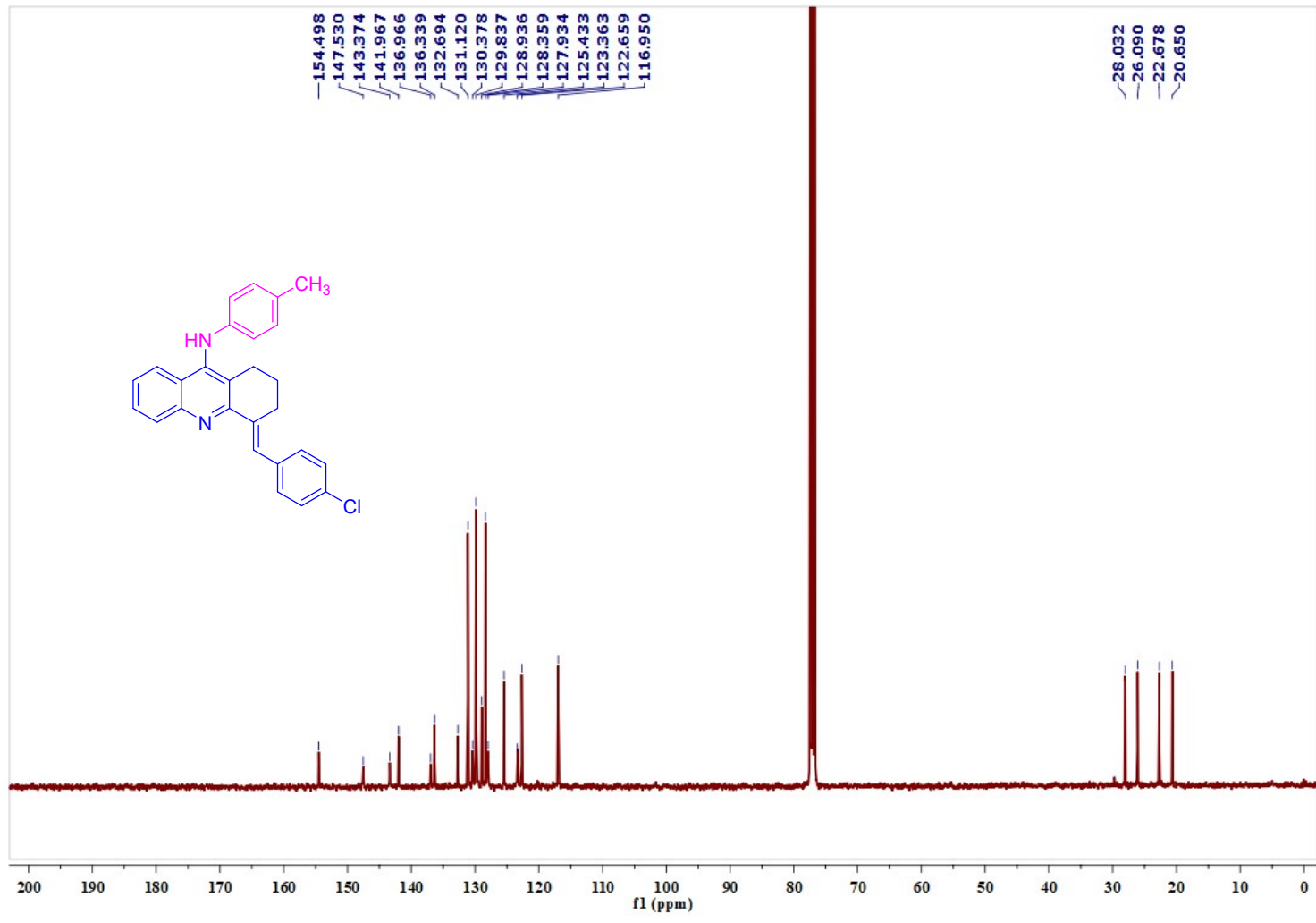




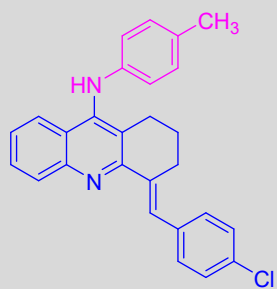
S198

*(E)*-4-(4-Chlorobenzylidene)-*N*-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (**8r**)



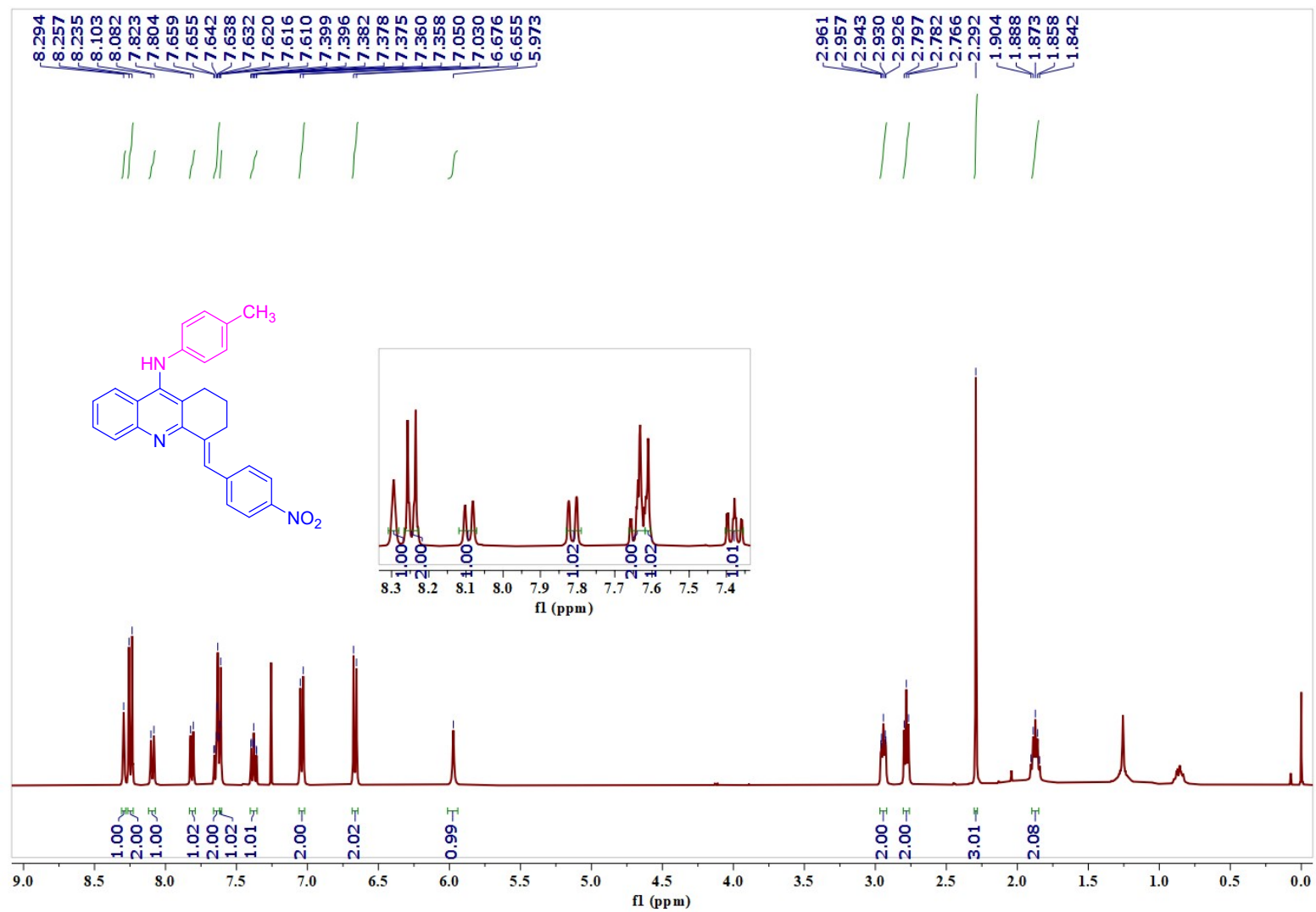


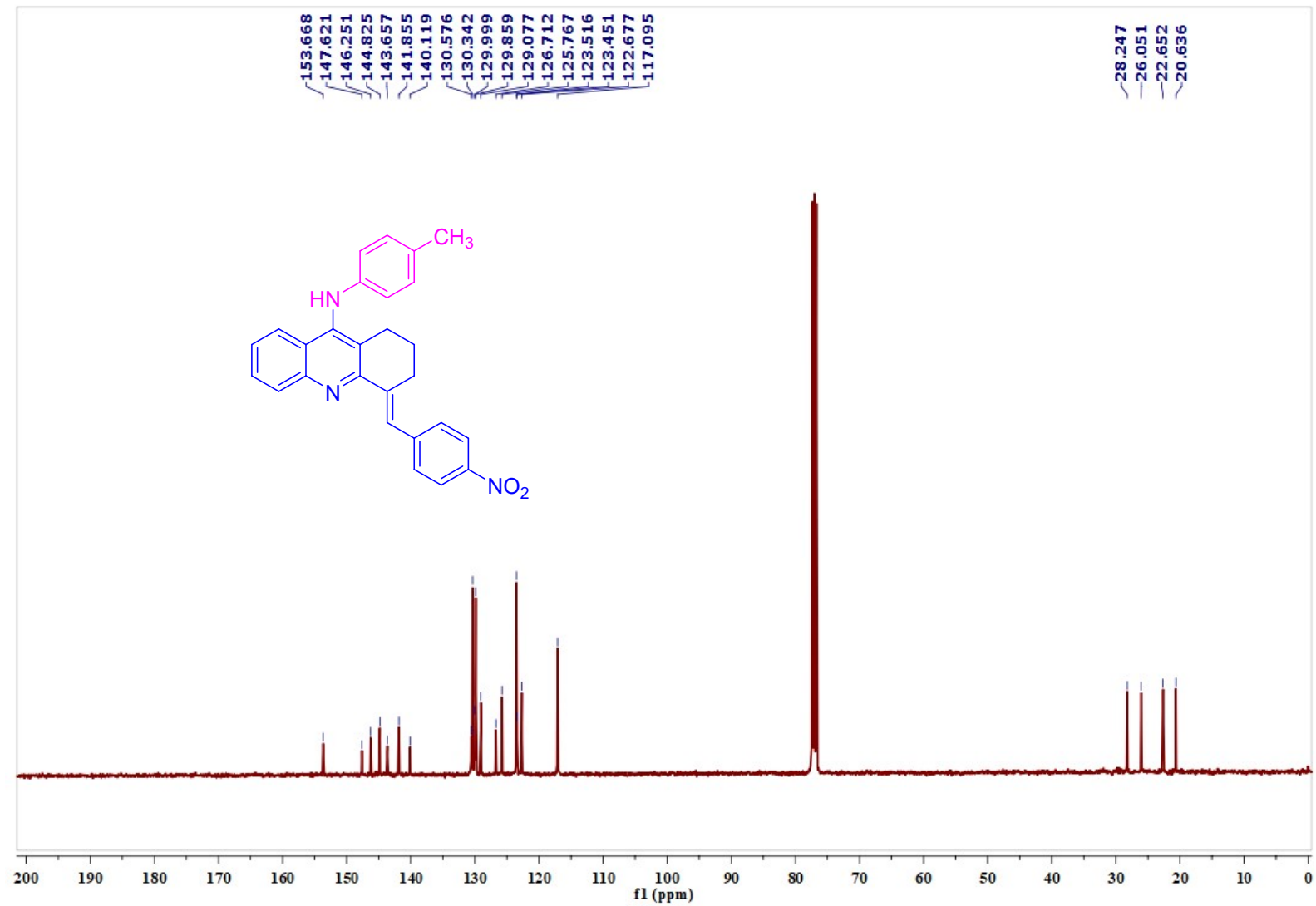
S200



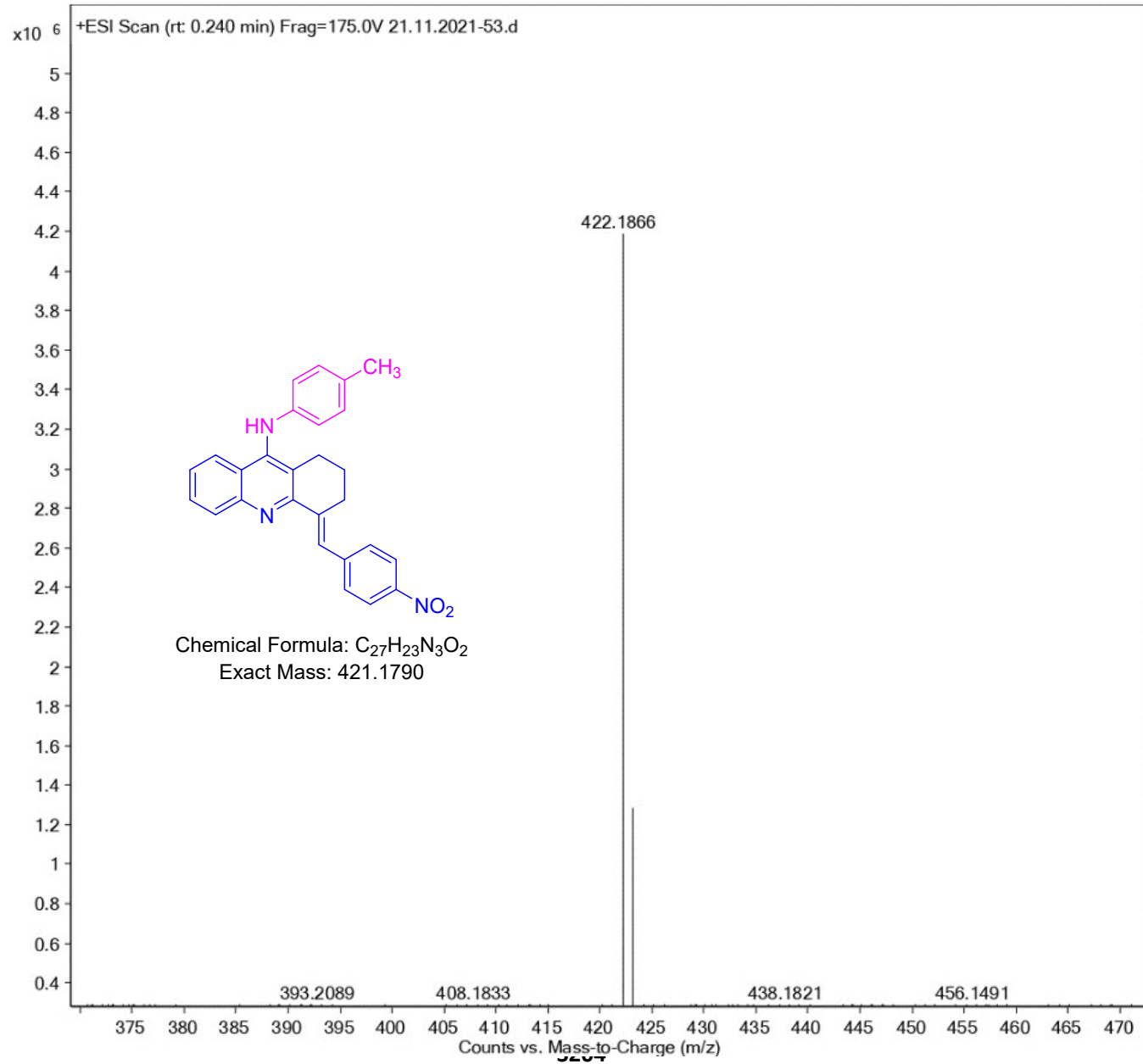
Chemical Formula:  $C_{27}H_{23}ClN_2$   
Exact Mass: 410.1550

*(E)*-4-(4-Nitrobenzylidene)-*N*-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine(8s):



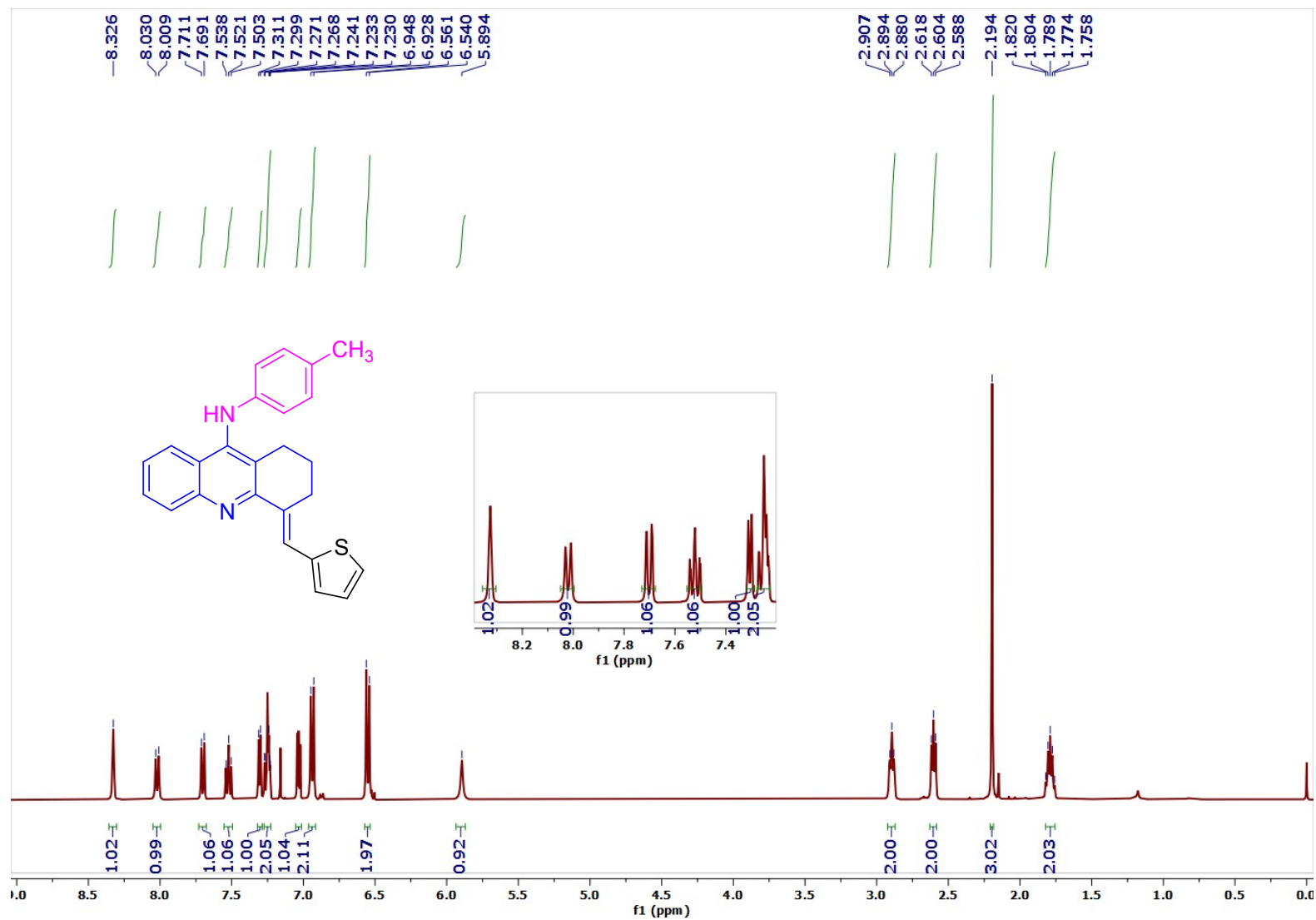


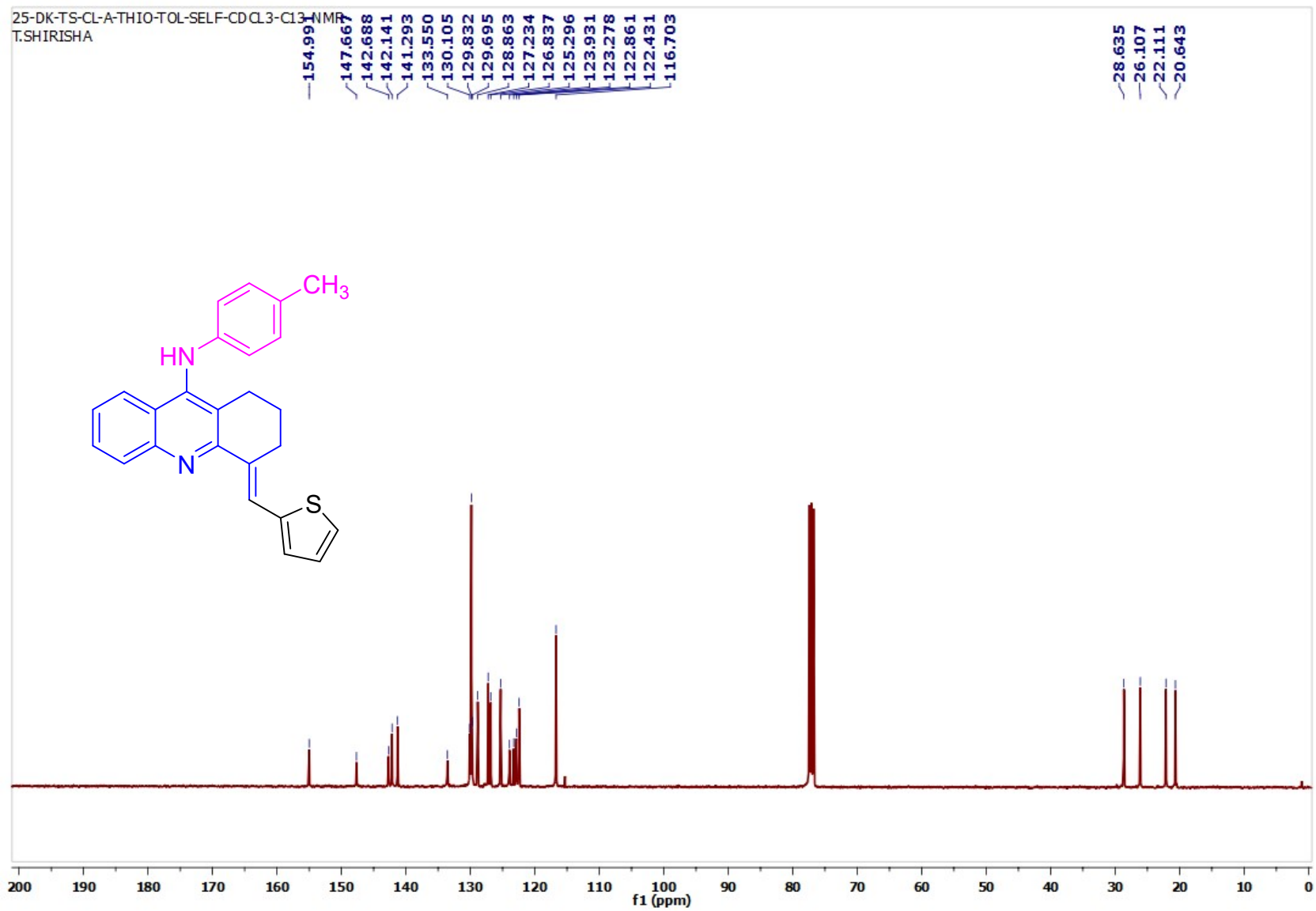
S203

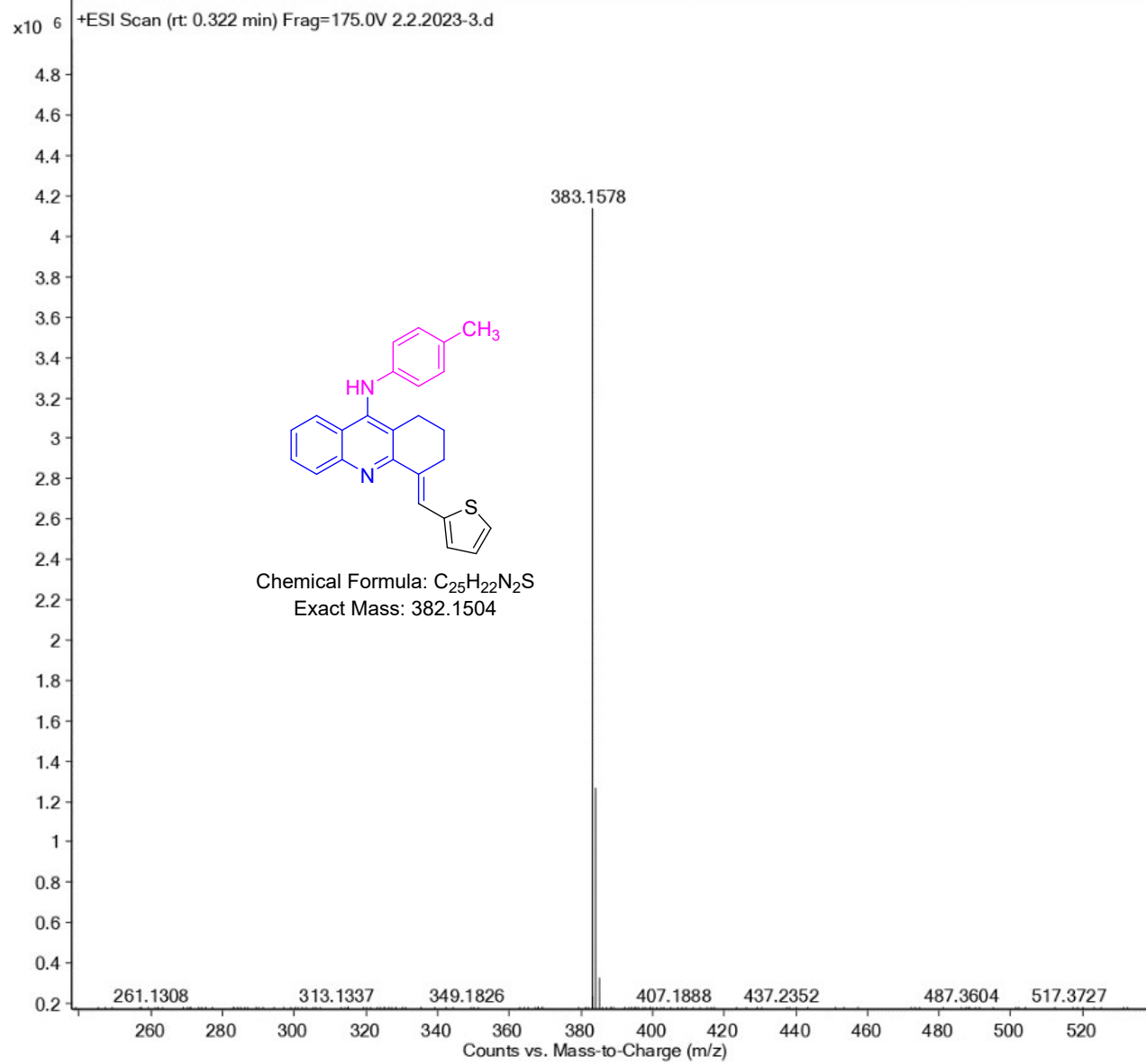




*(E)*-4-(Thiophen-2-ylmethylene)-N-(*p*-tolyl)-1,2,3,4-tetrahydroacridin-9-amine (8t):







S207