

## *Supporting Information for*

# **One Pot Synthesis of Pyrrolo[3,4-*c*]quinolinone/Pyrrolo[3,4-*c*]quinoline Derivatives from 2-Aminoarylacrylates/2-Aminochalcones and Tosylmethyl isocyanide (TosMIC)**

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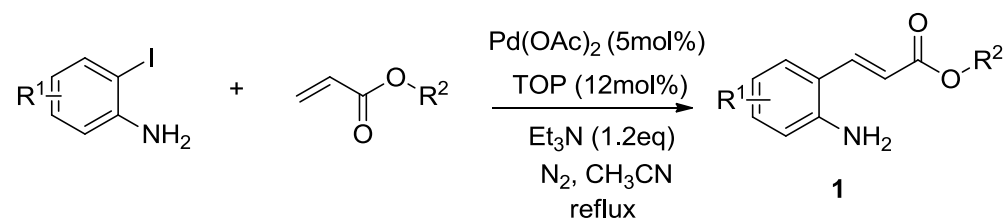
## Experimental Section

### I. General

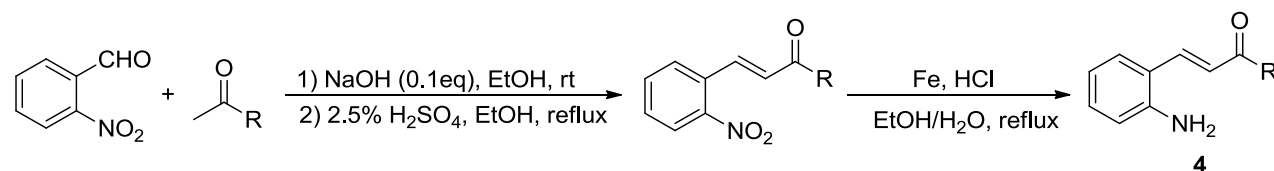
Melting points were recorded on an Electrothermal digital melting point apparatus and were uncorrected. IR spectra were recorded on a Varian FT-1000 spectrophotometer using KBr optics.  $^1\text{H}$  NMR and  $^{13}\text{C}$  NMR spectra were recorded on a BRUKER 400 MHz ( $^1\text{H}$  NMR) and 100 MHz ( $^{13}\text{C}$  NMR) spectrometer using  $\text{DMSO-}d_6$  as solvent and TMS as internal standard. High resolution mass spectra were obtained using WATERS instrument with CI- source.

### II. Preparation of Substrates

Substrates **1** were prepared according to the procedures in references 1.



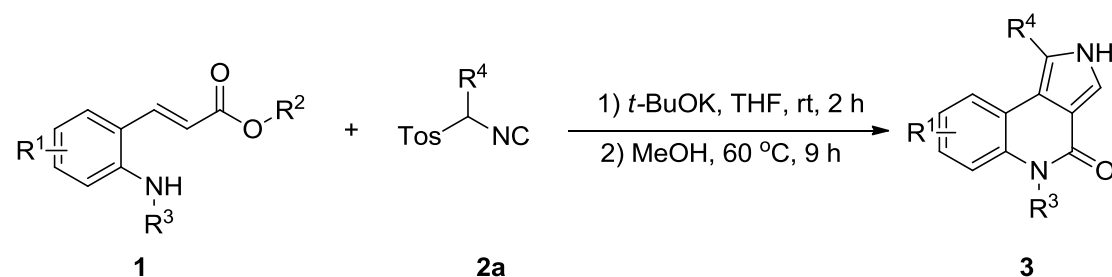
Substrates **4** were prepared according to the procedures in references 2, and 3



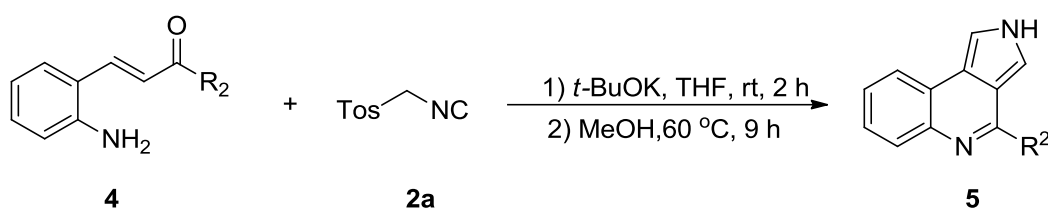
### References

1. Saito, T.; Nakano, H.; Terada, H.; Kutsumura, N.; Otani, T. *Heterocycles* **2012**, 893-911.
2. Alarcón, E.; Romero, N.; Aguilar H. *Green Chemistry Conference*, 2013.
3. Diedrich, C. L.; Haase, D.; Saak, W.; Christoffers, J. *Eur. J. Org. Chem.* **2008**, 1811–1816.

### III. Synthetic procedures and analytical data of compounds

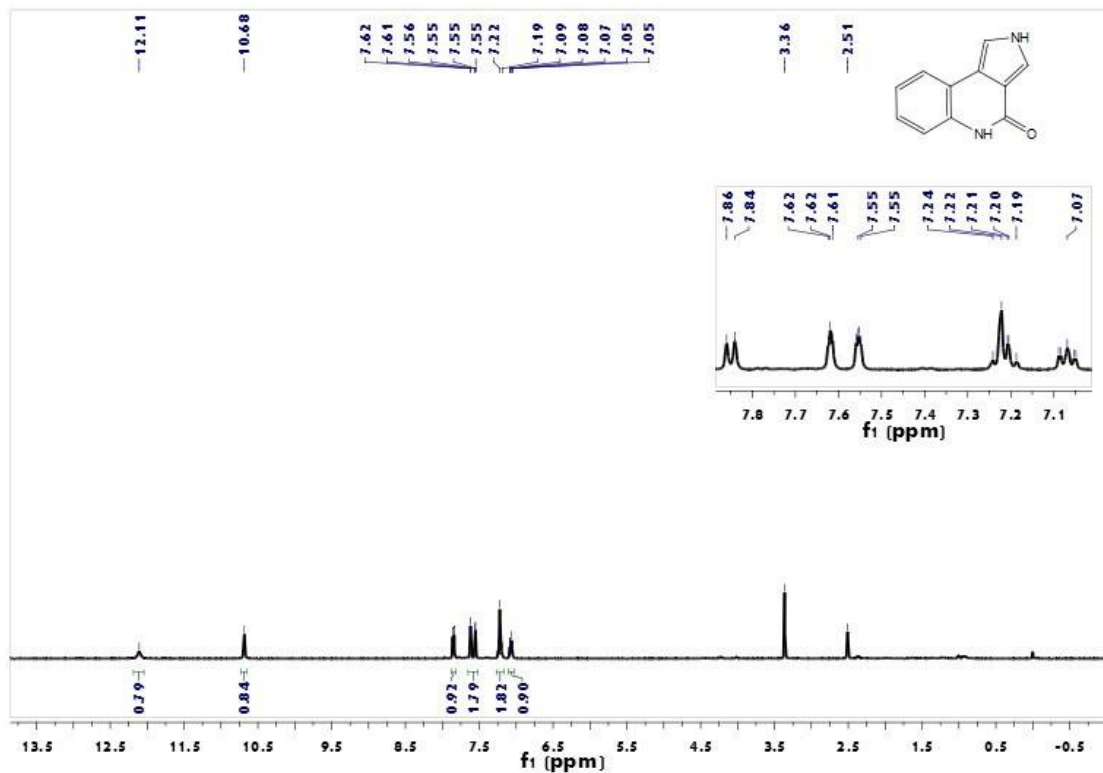


**Typical synthetic procedure:** To a solution of (*E*)-methyl-3-(2-aminophenyl)acrylate **1a** (0.3 mmol, 53 mg) and TosMIC **2a** (0.36 mmol, 70 mg) in THF (2 mL) was added *t*BuOK (0.6 mmol, 67 mg) and stirred at 25 °C monitored by TLC. After **2a** disappeared, the resulting mixture was diluted with 10 mL of ethyl acetate and washed with 10 mL of brine. The aqueous layer was extracted with ethyl acetate (10 mL x 2). The organic layer was combined and dried over Na<sub>2</sub>SO<sub>4</sub> and concentrated. Then, the crude mixture was added 2.5 mL MeOH and stirred for 9h at 60 °C monitored by TLC. The resulting mixture was diluted with 10 mL of ethyl acetate and washed with 10 mL of brine. The aqueous layer was extracted with ethyl acetate (10 mL x 2). The organic layer was combined and dried over Na<sub>2</sub>SO<sub>4</sub> and concentrated. Purification of the crude product with flash column chromatography gave **3a** in 62% yield as a yellow solid.

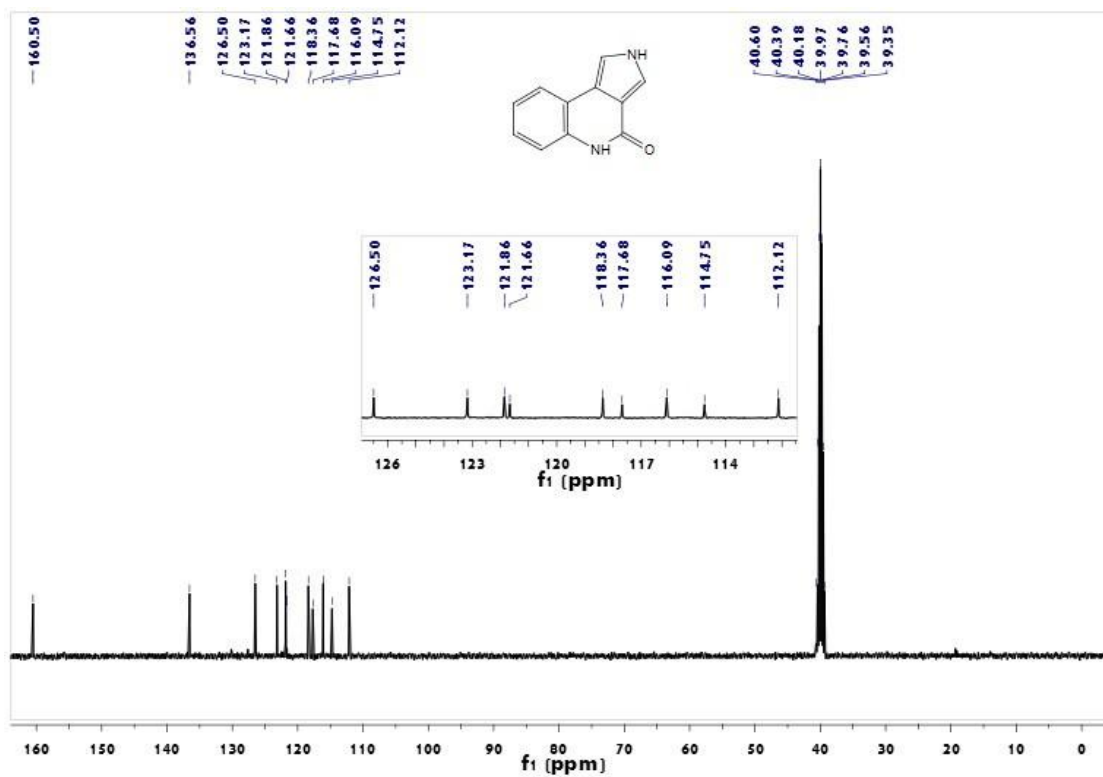


**Typical synthetic procedure:** To a solution of (*E*)-4-(2-aminophenyl)but-3-en-2-one **4a** (0.3 mmol, 53 mg) and TosMIC **2a** (0.36 mmol, 70 mg) in THF (2 mL) at 25 °C was added *t*BuOK (0.6 mmol, 67 mg). After stirred for 2h, the resulting mixture was concentrated to remove the solvent. The crude mixture was added 2.5 mL MeOH and stirred for 9h at 60 °C, the resulting mixture was diluted with 10 mL of ethyl acetate and washed with 10 mL of brine. The aqueous layer was extracted twice with ethyl acetate (10 mL). The organic layer was combined and dried over Na<sub>2</sub>SO<sub>4</sub> and concentrated. Purification of the crude product with flash column chromatography gave **5a** in 79 % yield as a yellow solid.

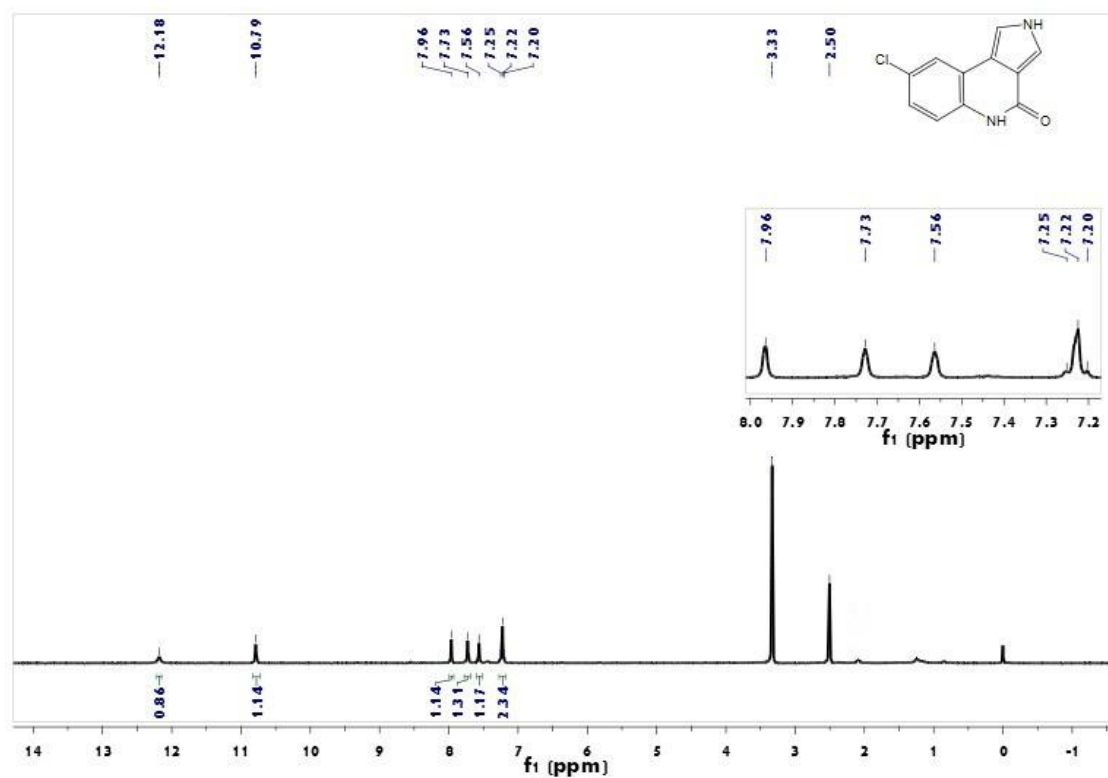
#### iv. Copies of $^1\text{H}$ and $^{13}\text{C}$ NMR Spectra for Compounds



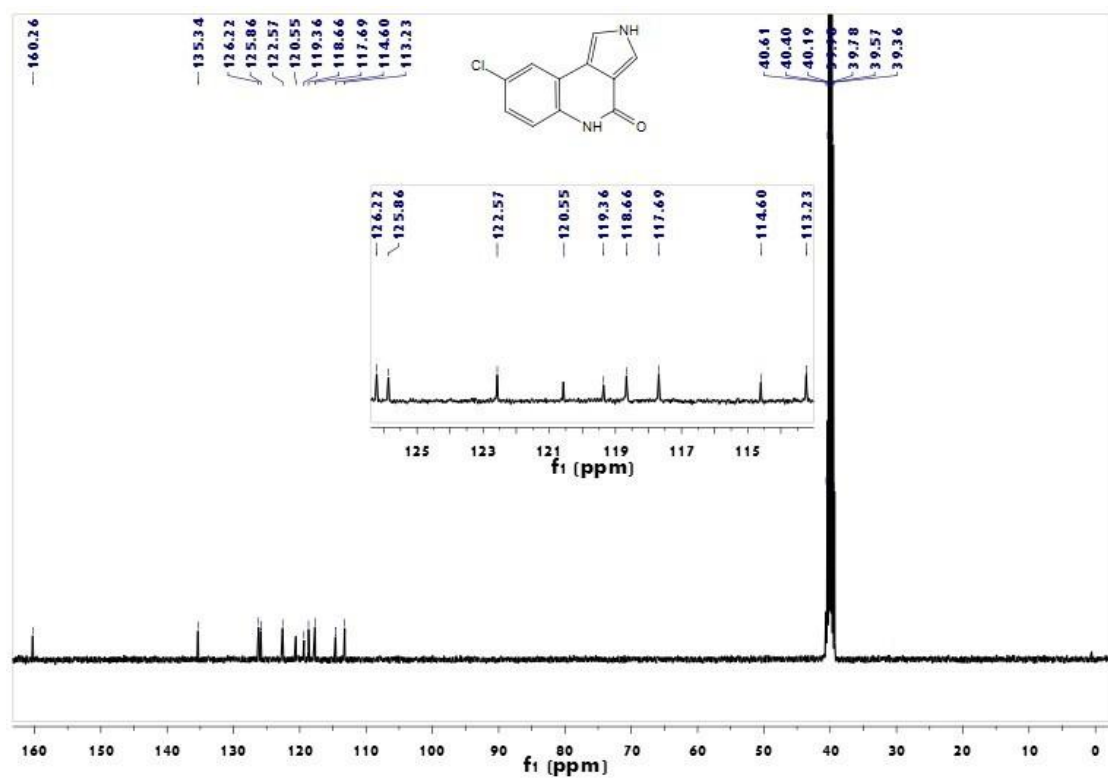
$^1\text{H}$  NMR Spectrum of Compound 3a



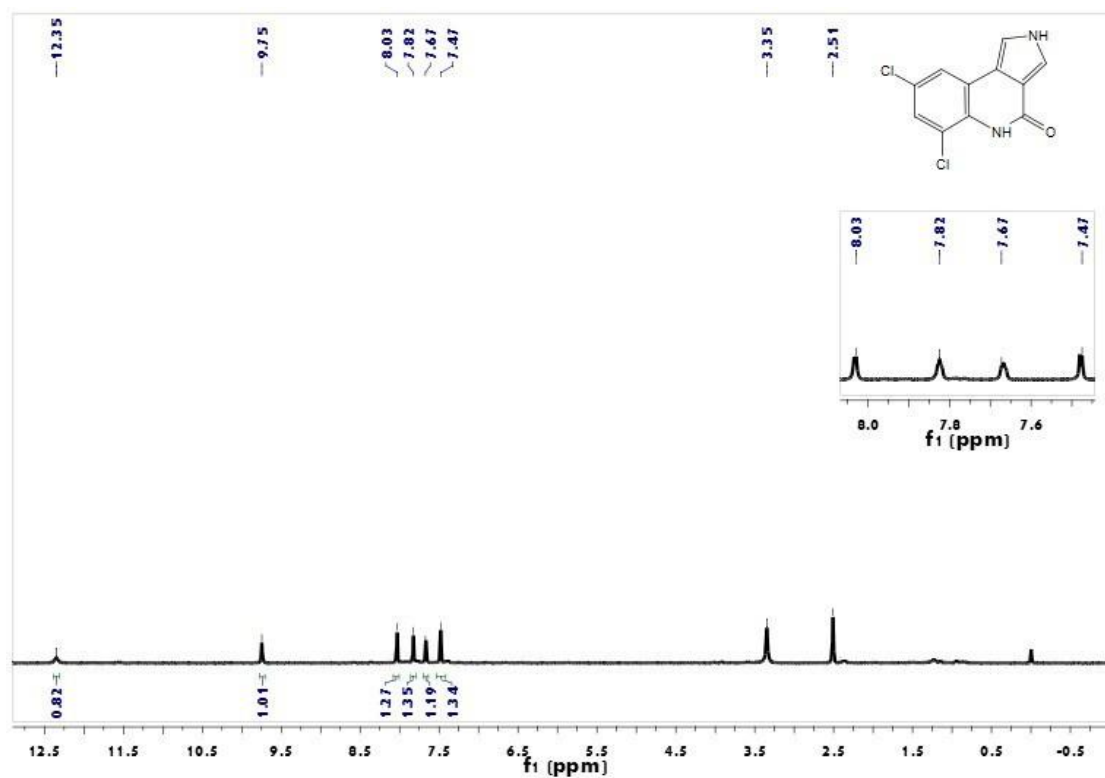
$^{13}\text{C}$  NMR Spectrum of Compound 3a



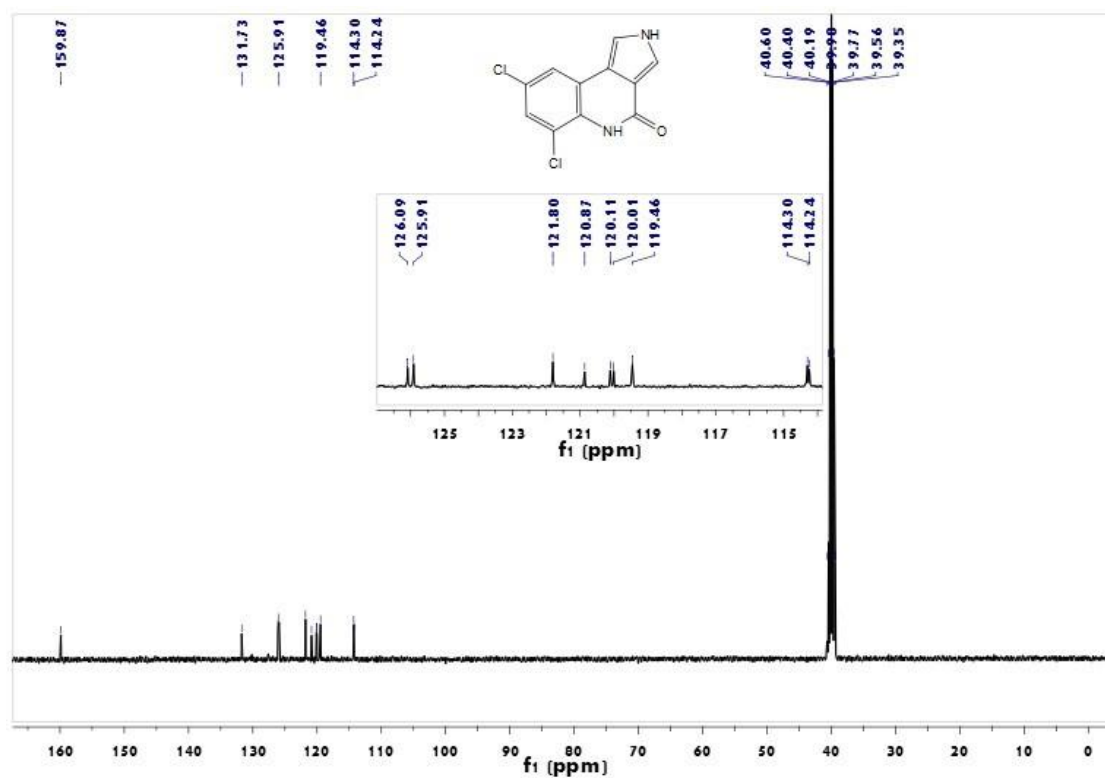
**<sup>1</sup>H NMR Spectrum of Compound 3b**



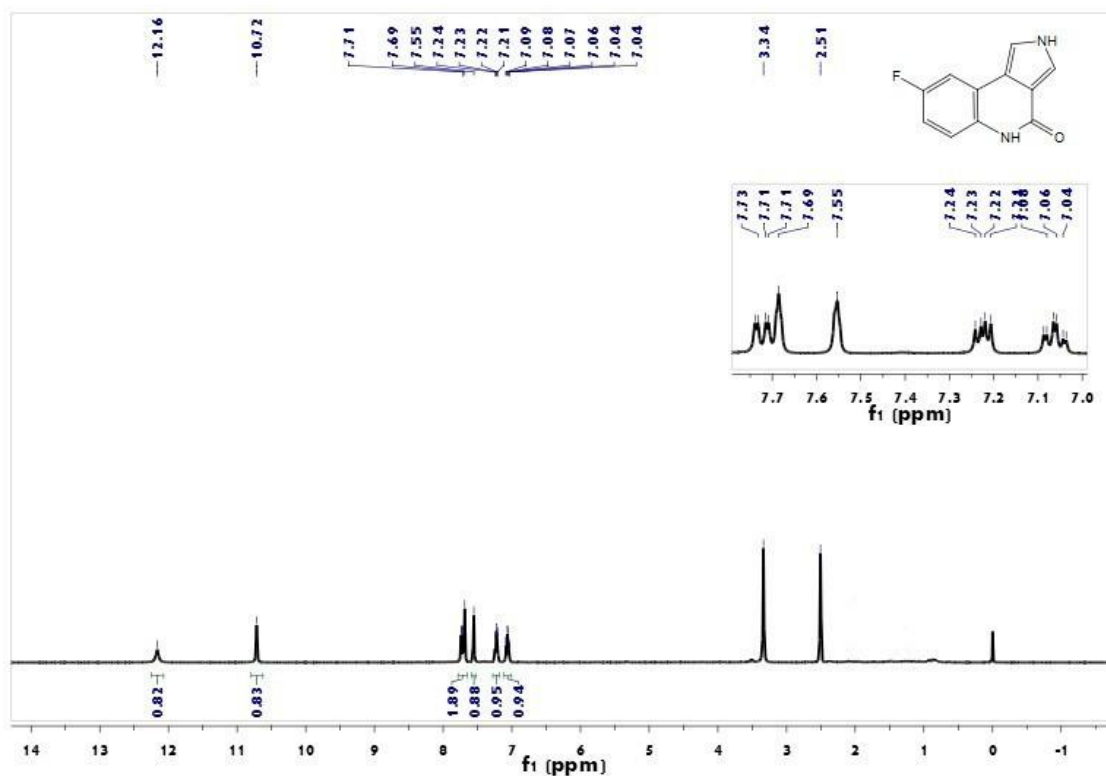
**<sup>13</sup>C NMR Spectrum of Compound 3b**



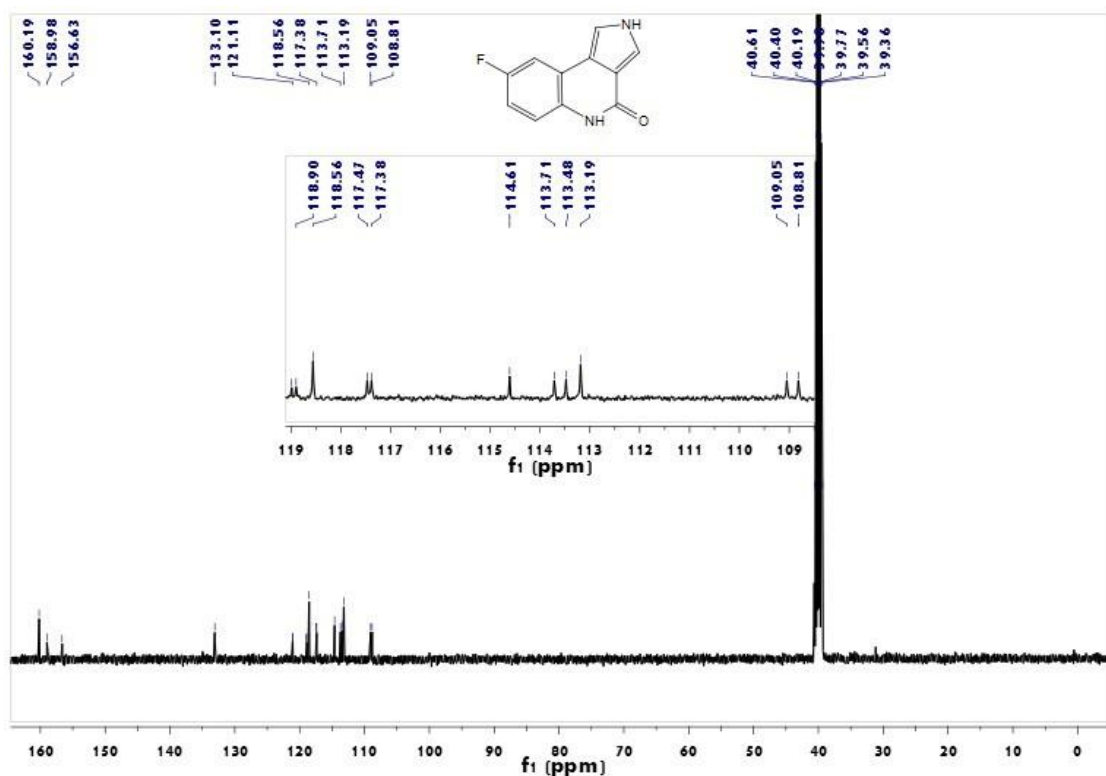
**<sup>1</sup>H NMR Spectrum of Compound 3c**



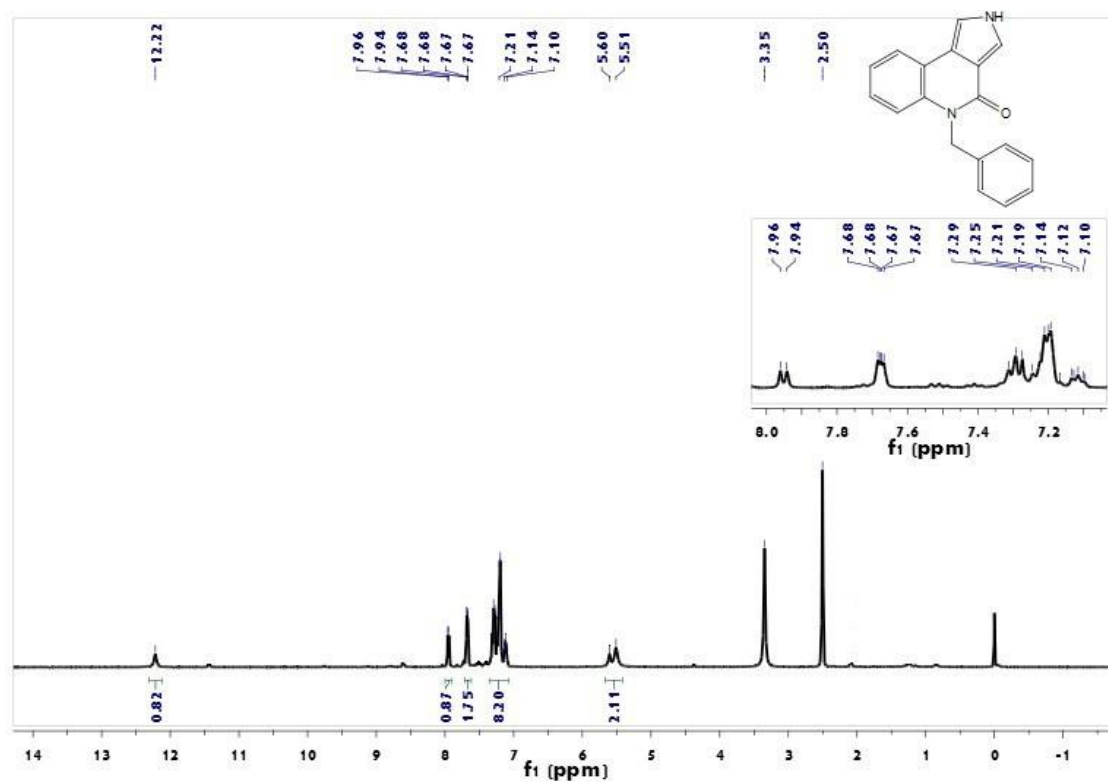
**<sup>13</sup>C NMR Spectrum of Compound 3c**



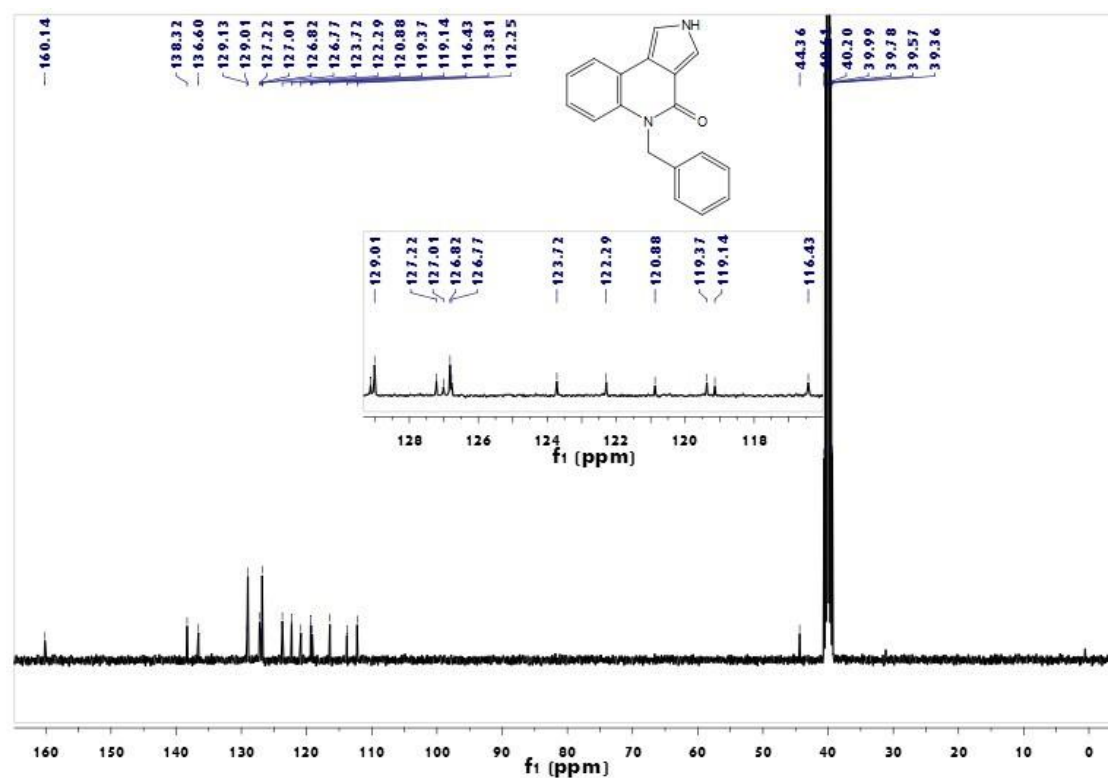
**<sup>1</sup>H NMR Spectrum of Compound 3d**



**<sup>13</sup>C NMR Spectrum of Compound 3d**

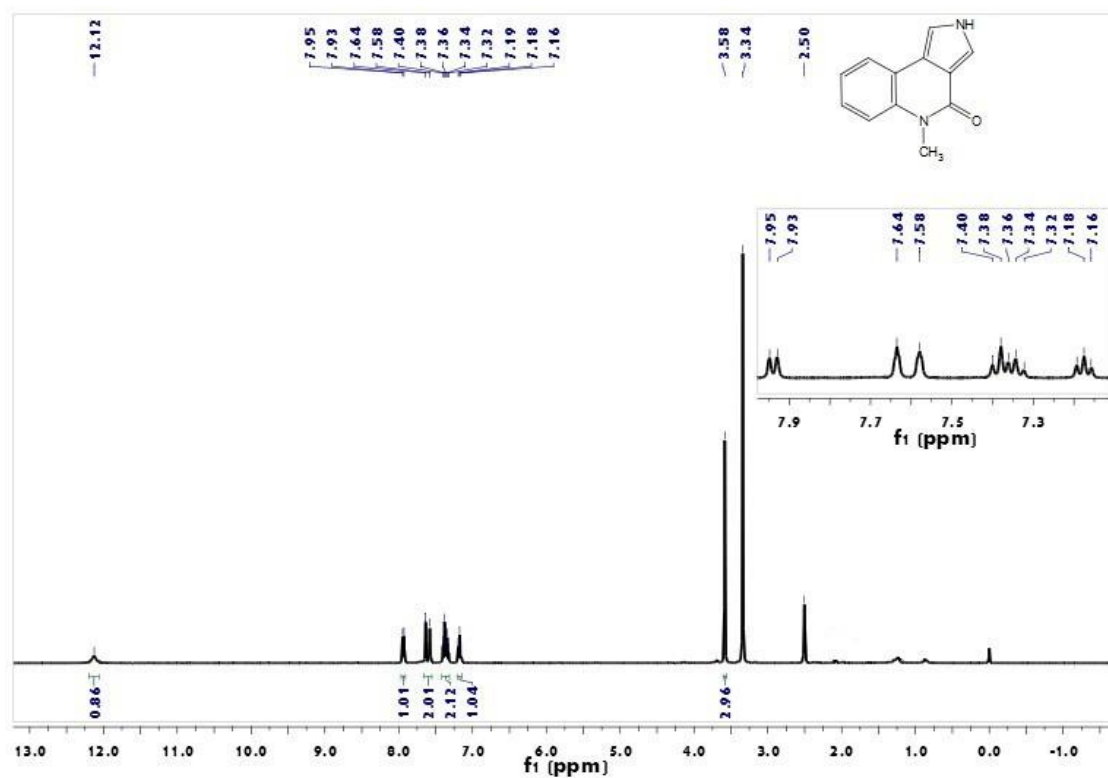


**<sup>1</sup>H NMR Spectrum of Compound 3e**

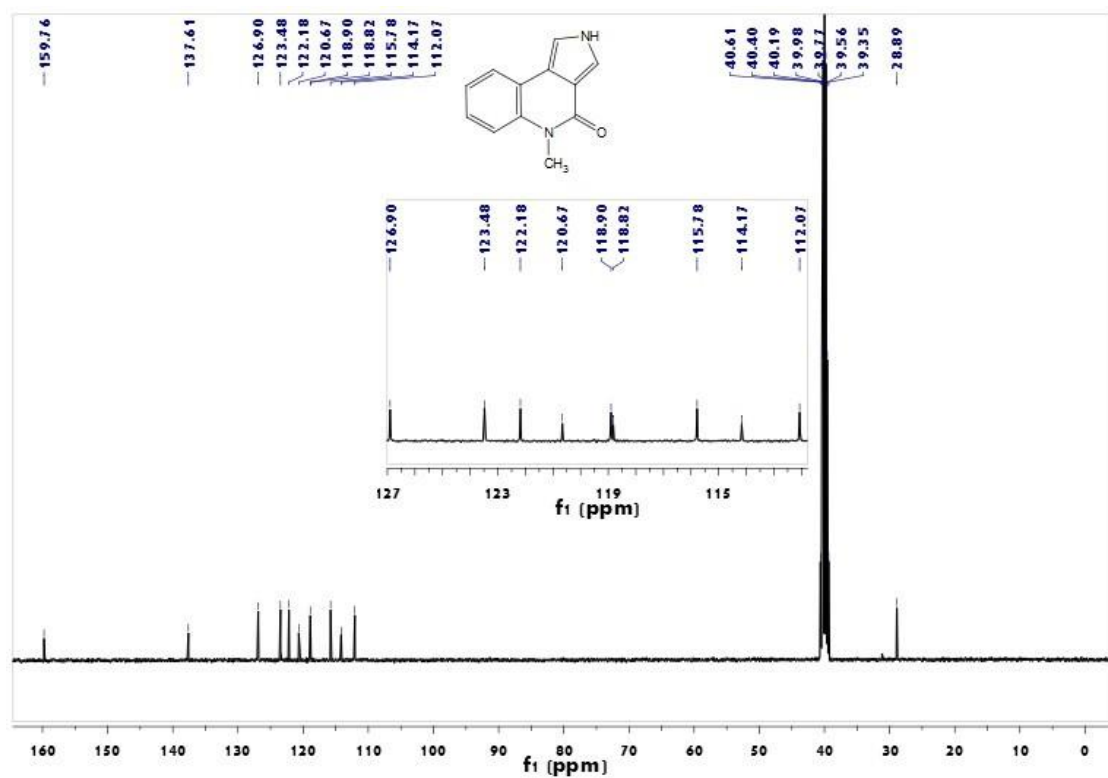


**<sup>13</sup>C NMR Spectrum of Compound 3e**

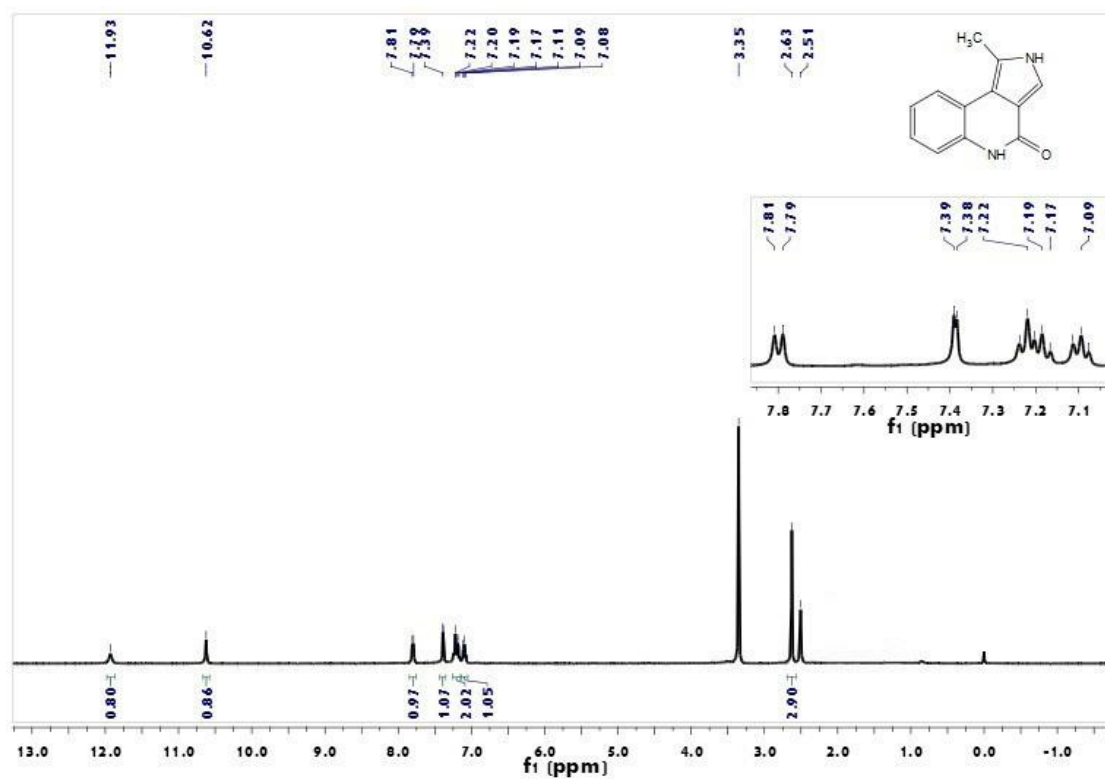




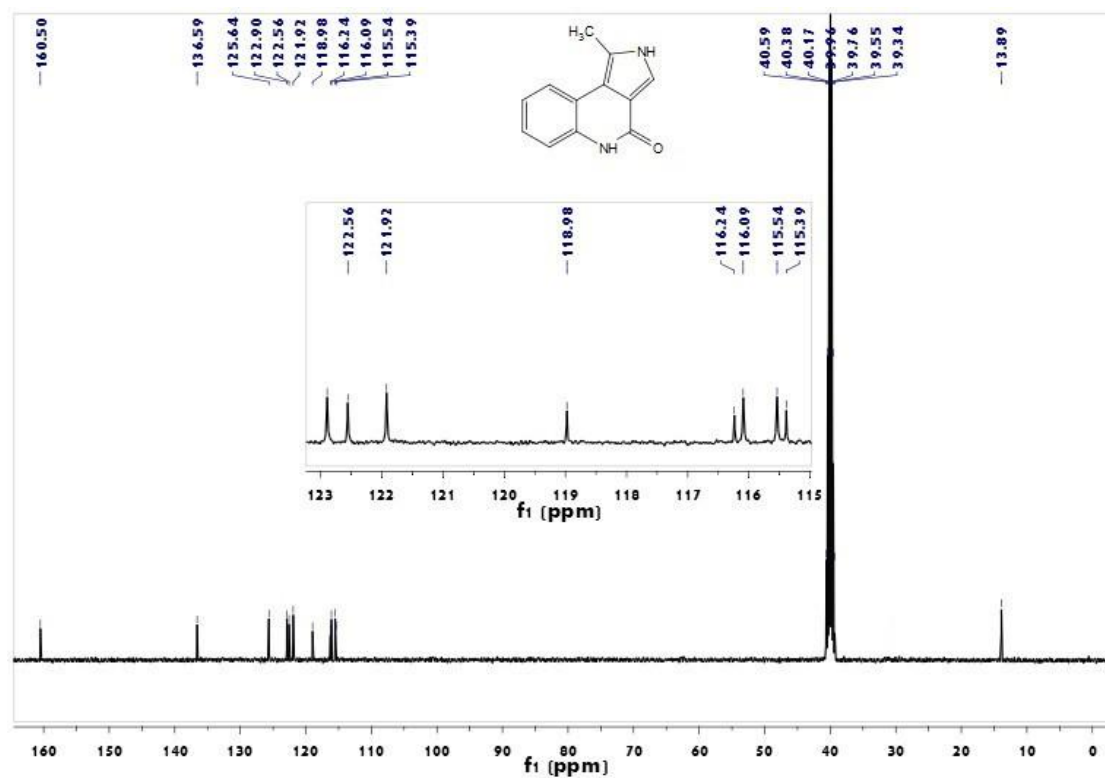
**<sup>1</sup>H NMR Spectrum of Compound 3f**



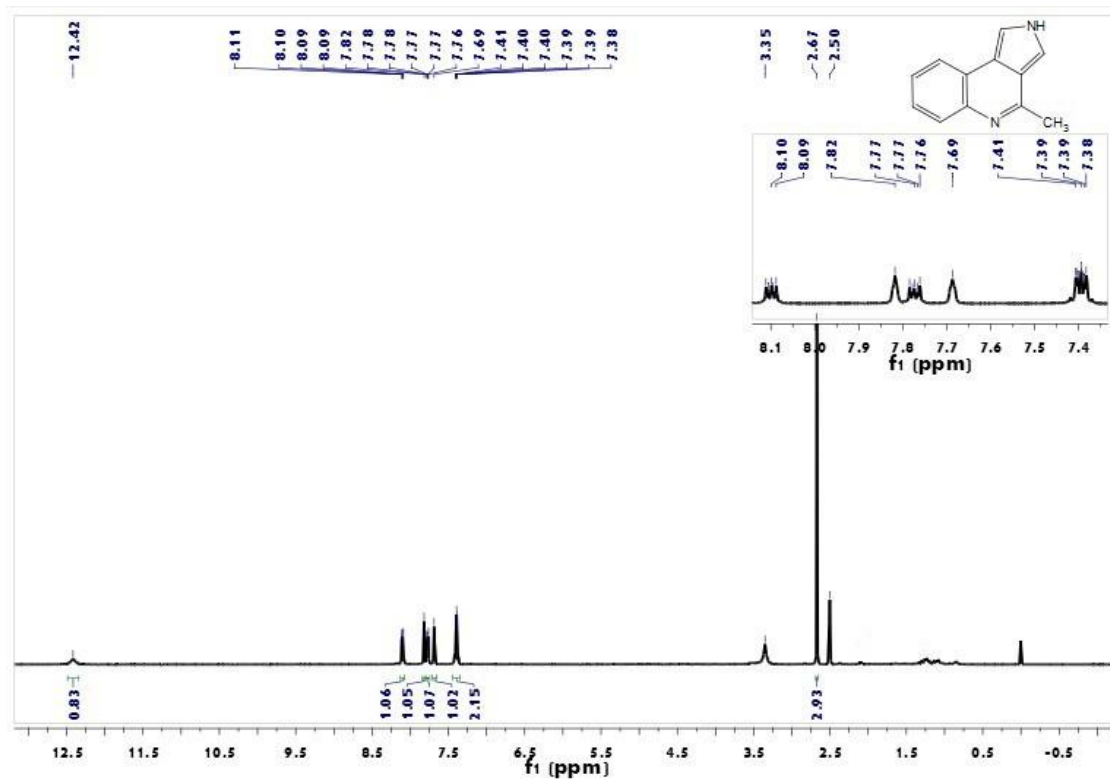
**<sup>13</sup>C NMR Spectrum of Compound 3f**



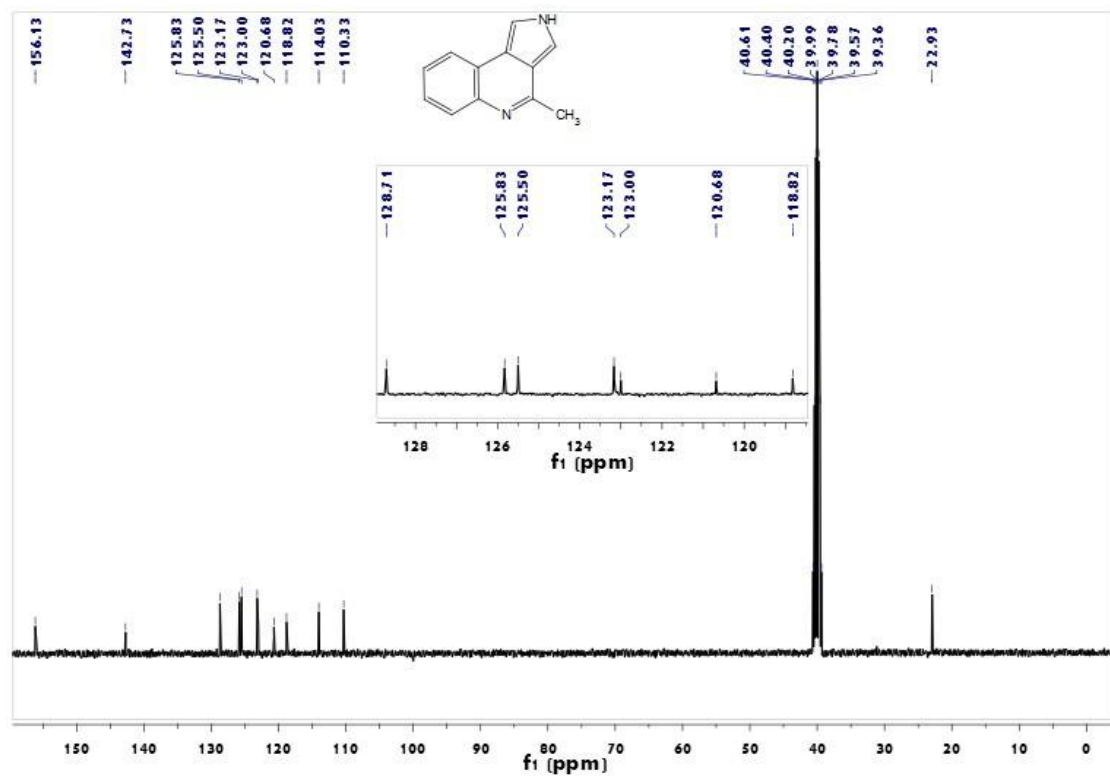
**<sup>1</sup>H NMR Spectrum of Compound 3g**



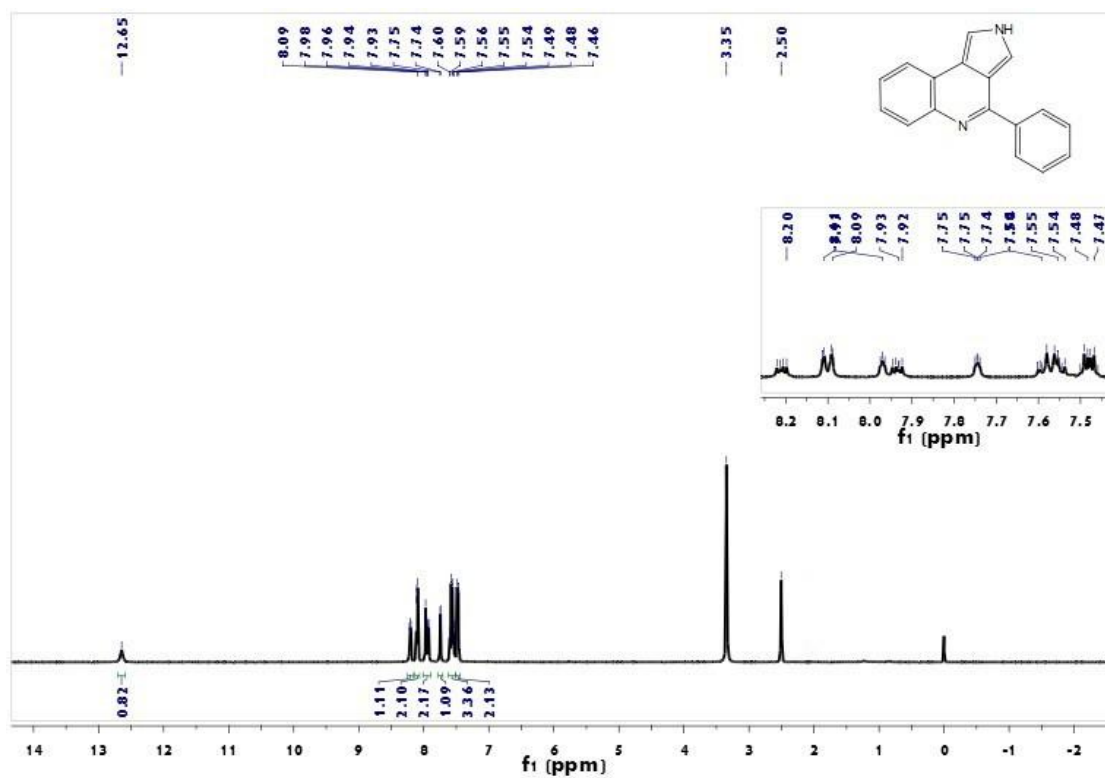
**<sup>13</sup>C NMR Spectrum of Compound 3g**



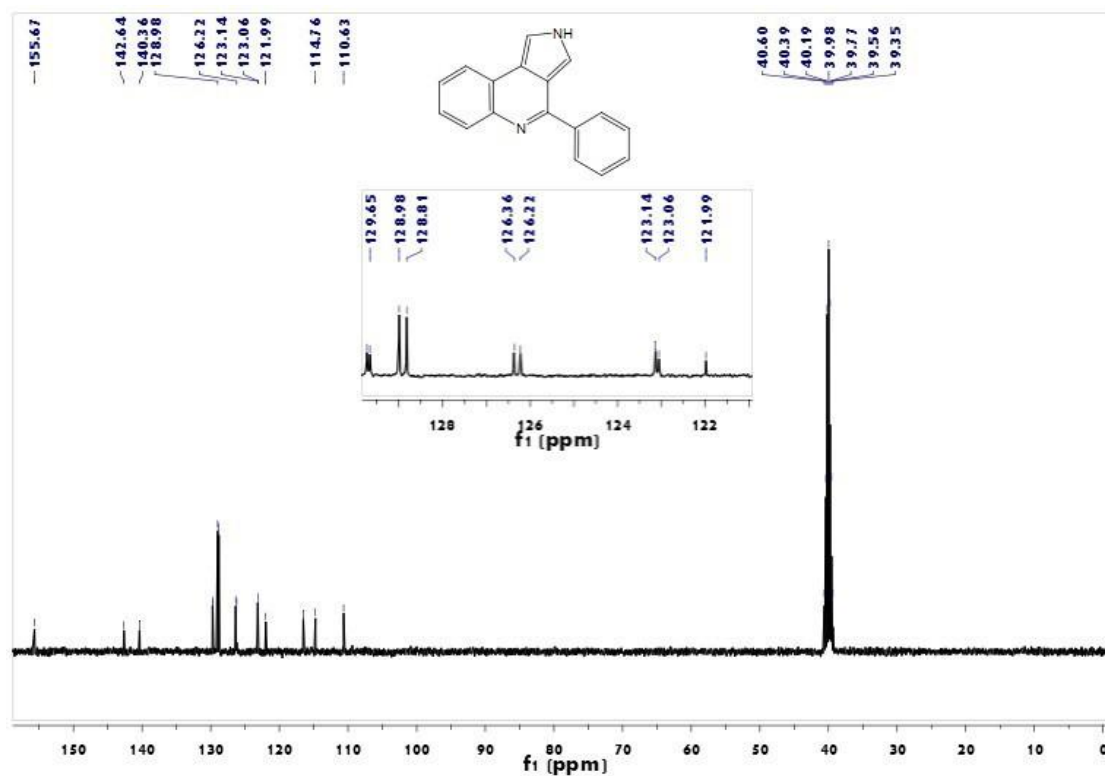
**<sup>1</sup>H NMR Spectrum of Compound 5a**



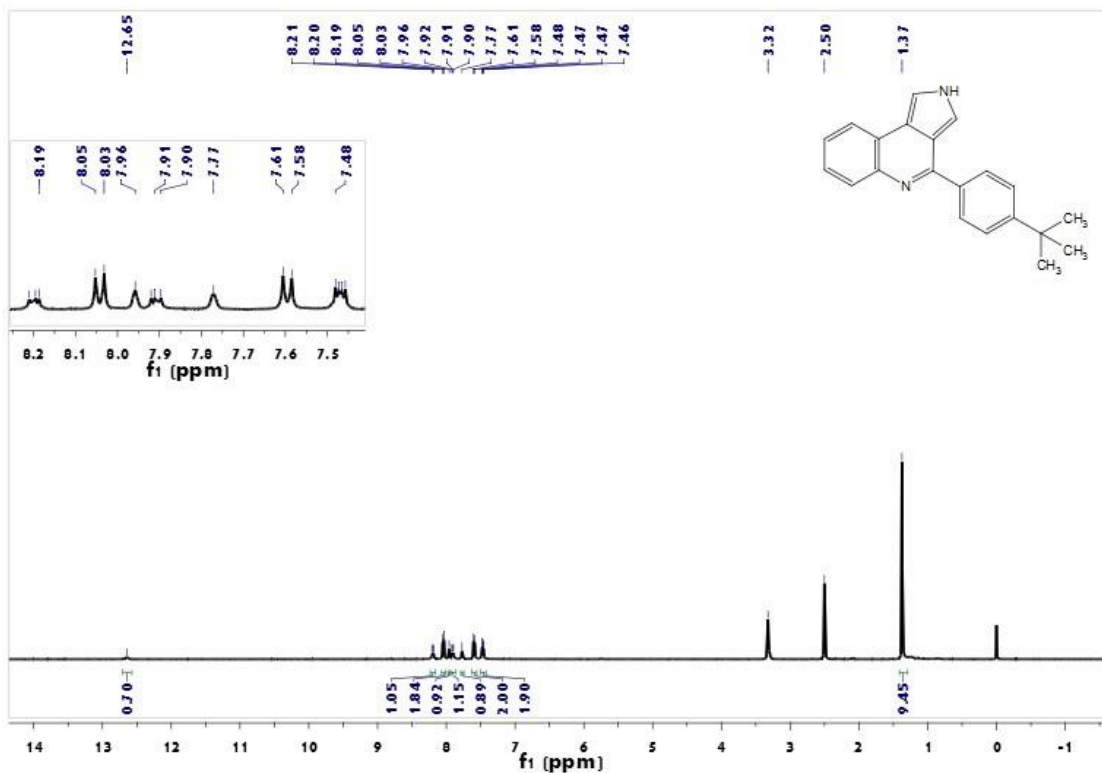
**<sup>13</sup>C NMR Spectrum of Compound 5a**



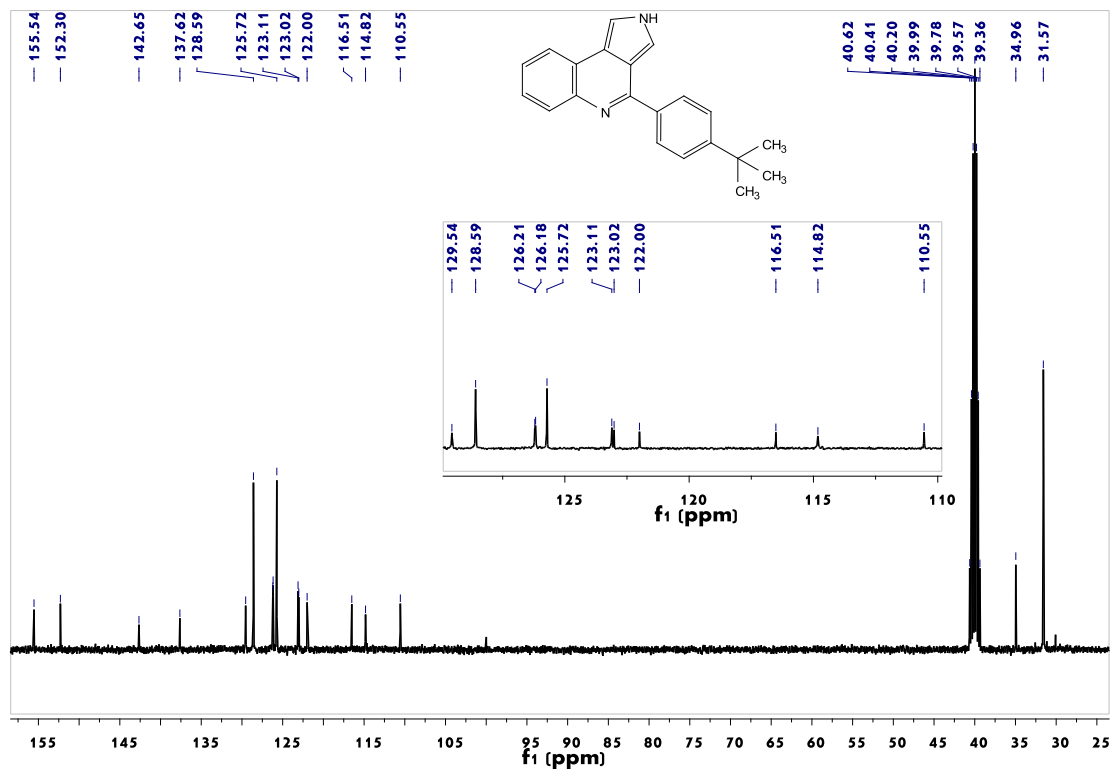
**<sup>1</sup>H NMR Spectrum of Compound 5b**



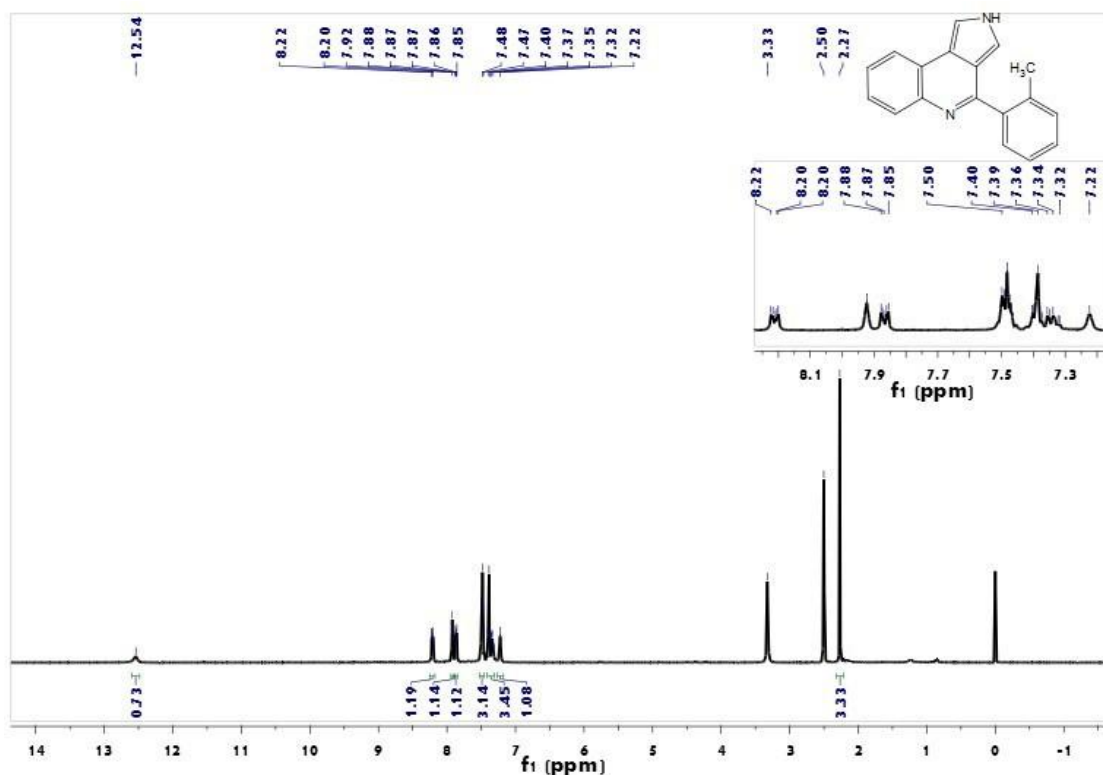
**<sup>13</sup>C NMR Spectrum of Compound 5b**



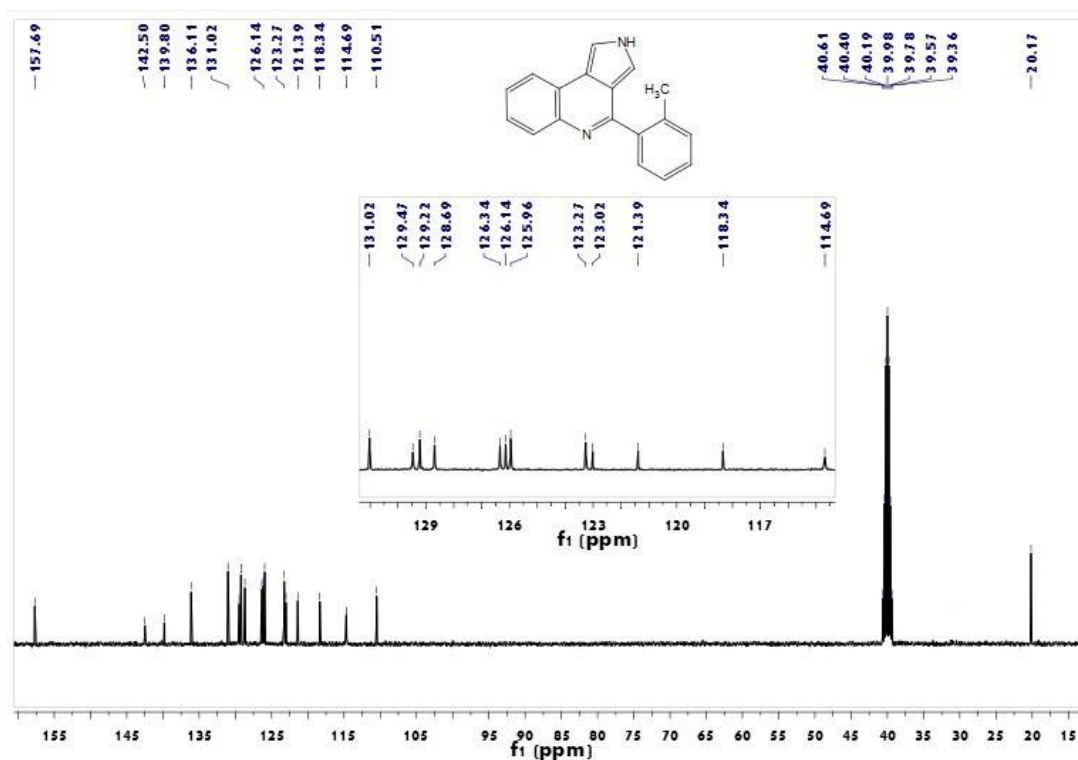
**<sup>1</sup>H NMR Spectrum of Compound 5c**



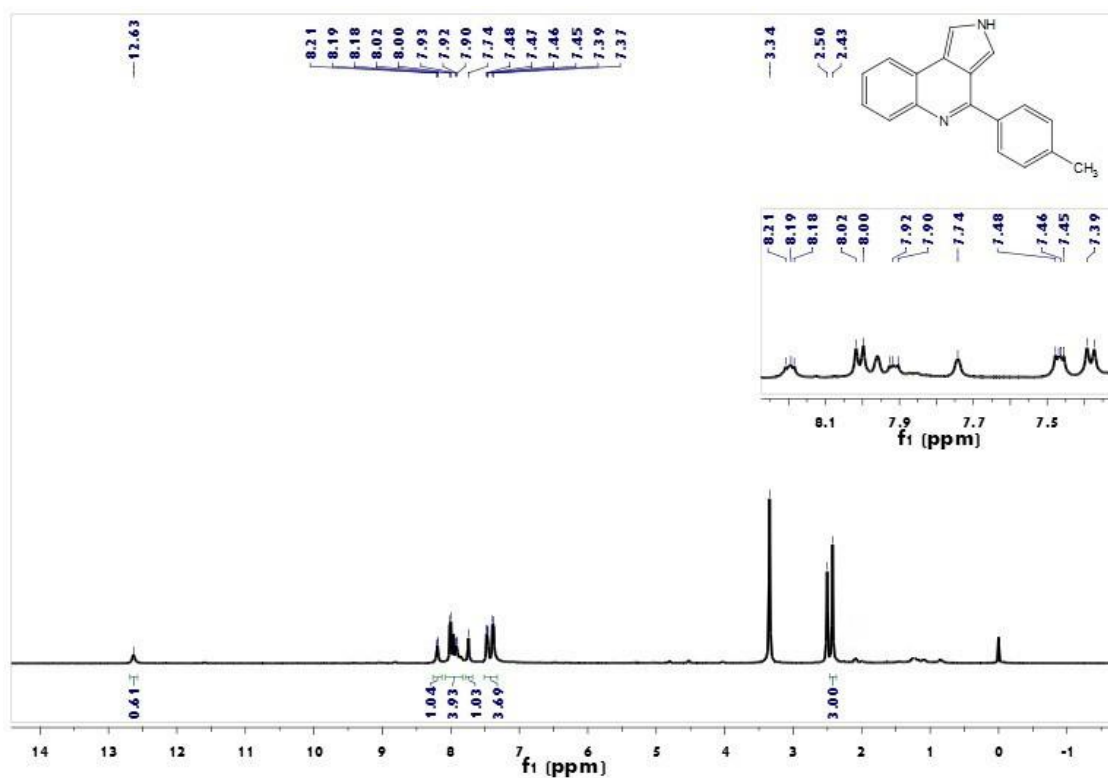
**<sup>13</sup>C NMR Spectrum of Compound 5c**



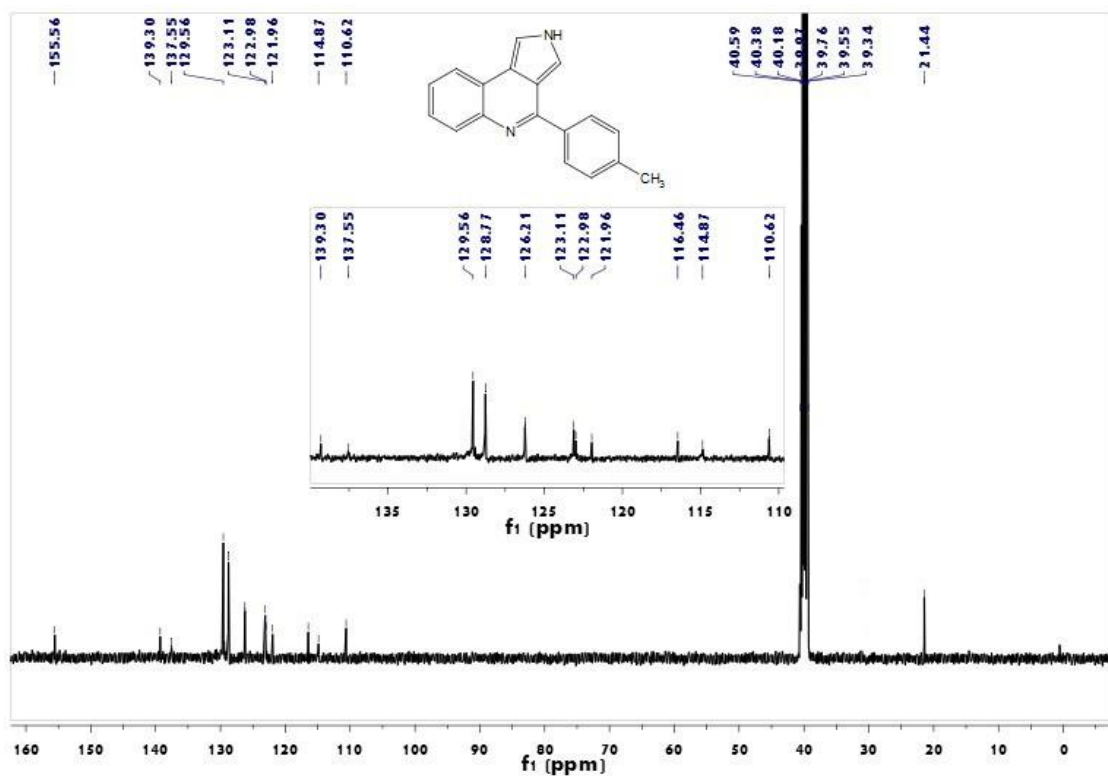
**<sup>1</sup>H NMR Spectrum of Compound 5d**



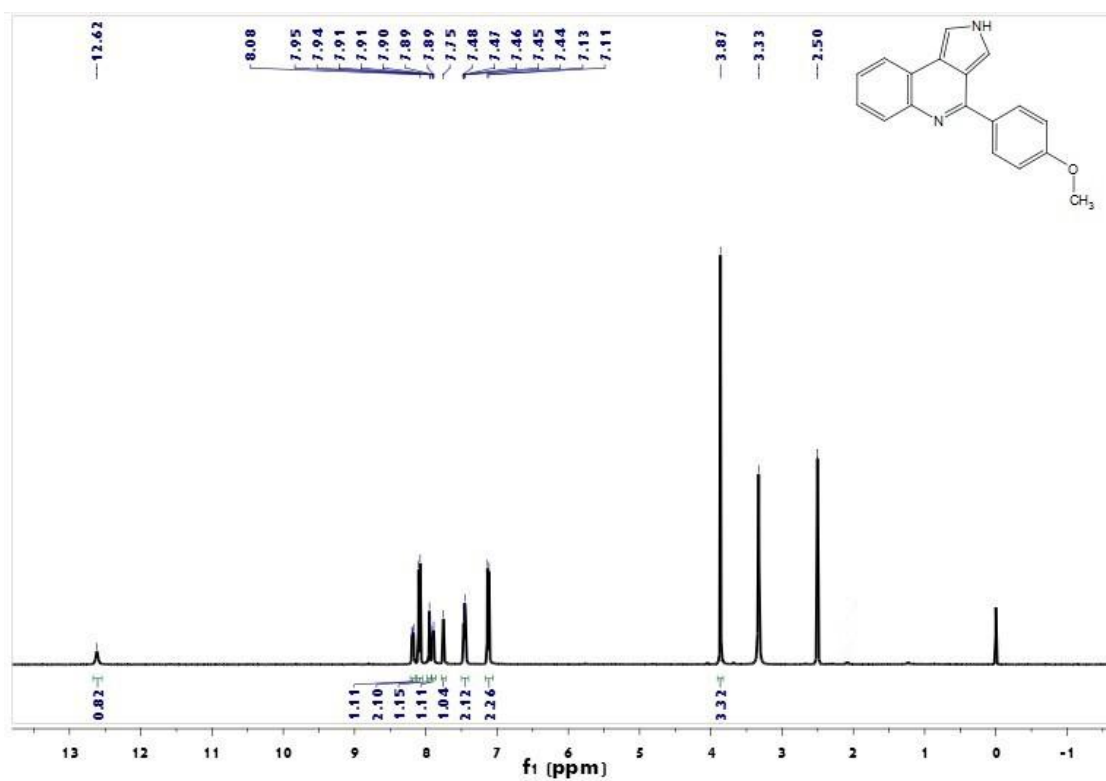
**<sup>13</sup>C NMR Spectrum of Compound 5d**



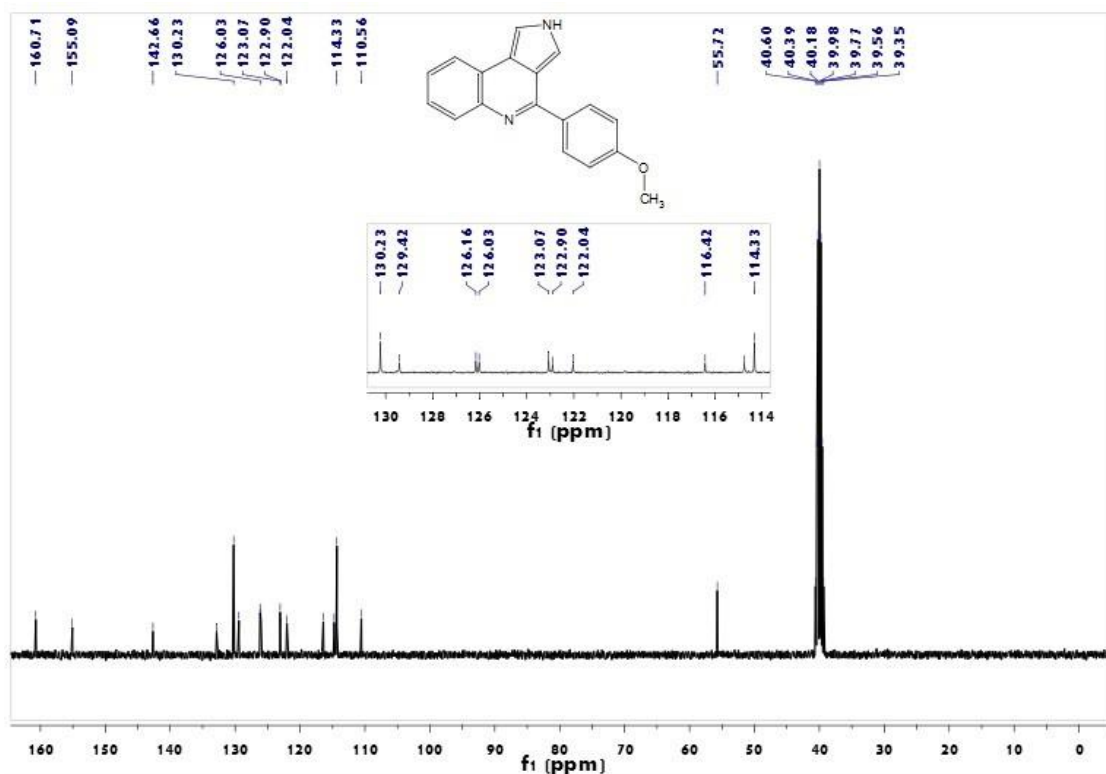
**<sup>1</sup>H NMR Spectrum of Compound 5e**



**<sup>13</sup>C NMR Spectrum of Compound 5e**

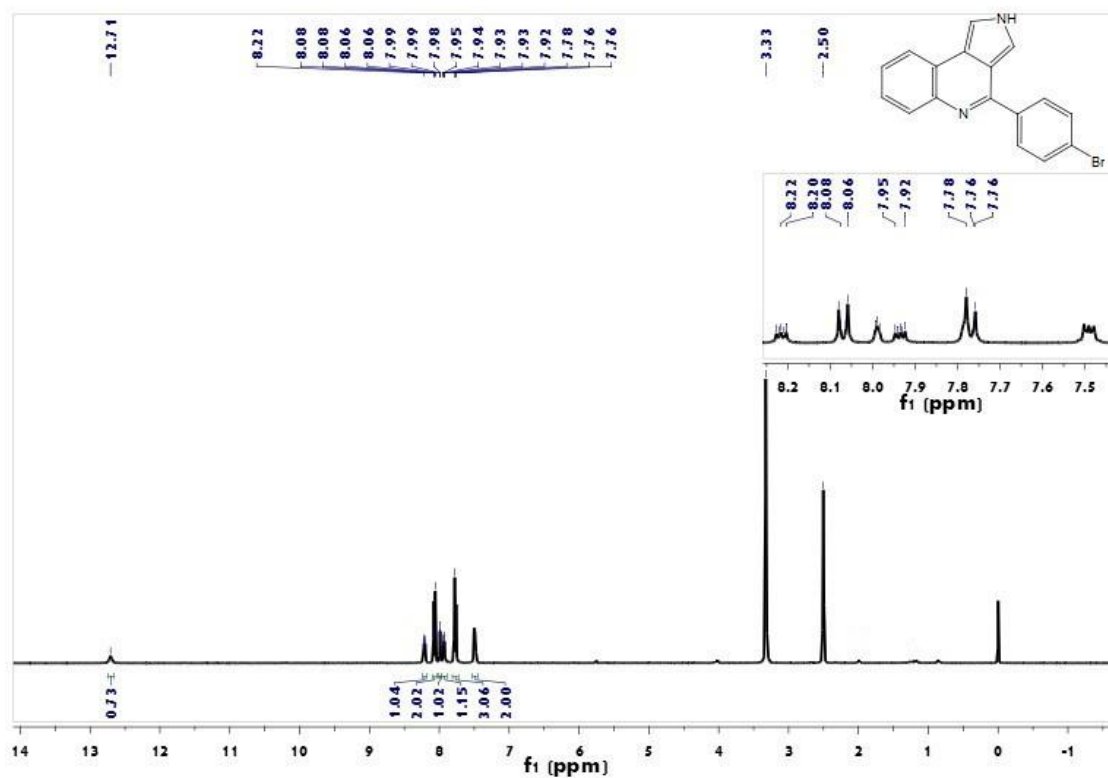


**<sup>1</sup>H NMR Spectrum of Compound 5f**

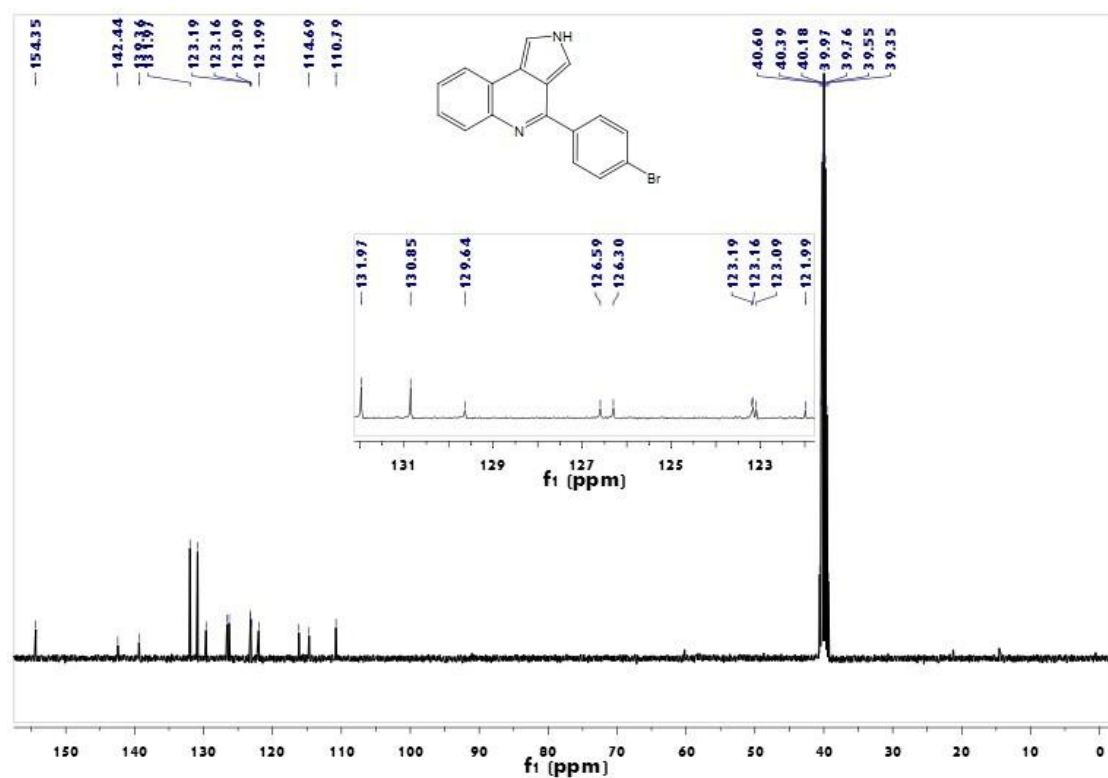


**<sup>13</sup>C NMR Spectrum of Compound 5f**

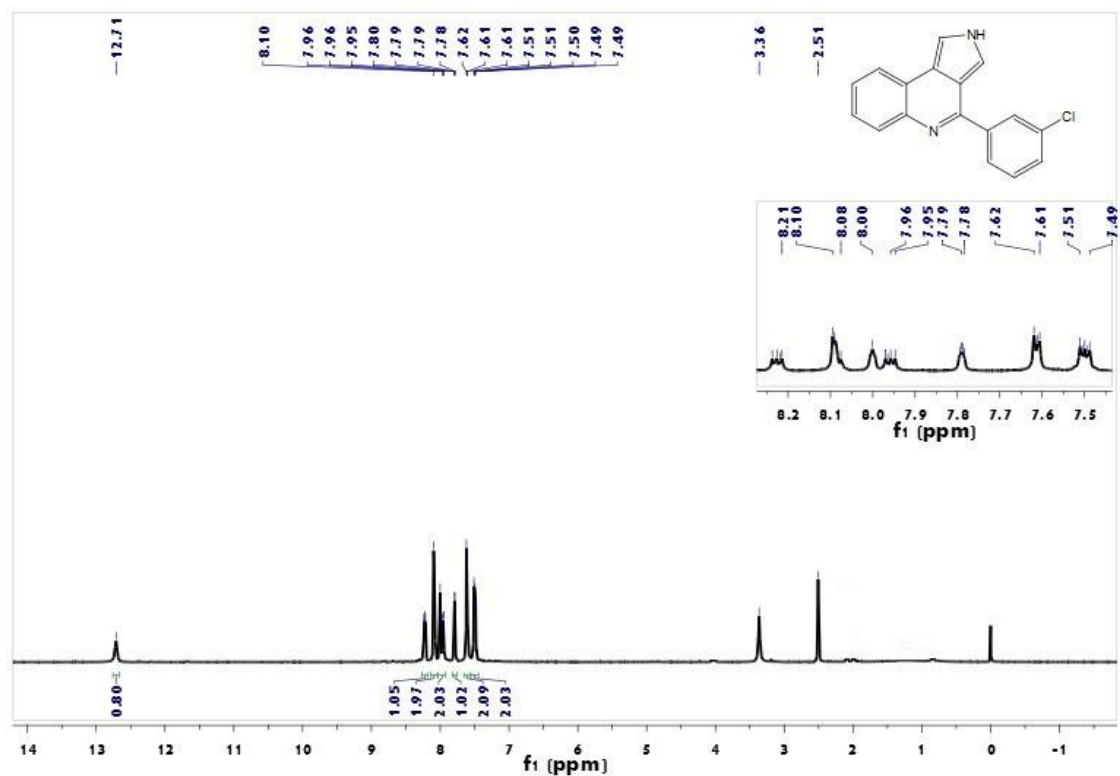




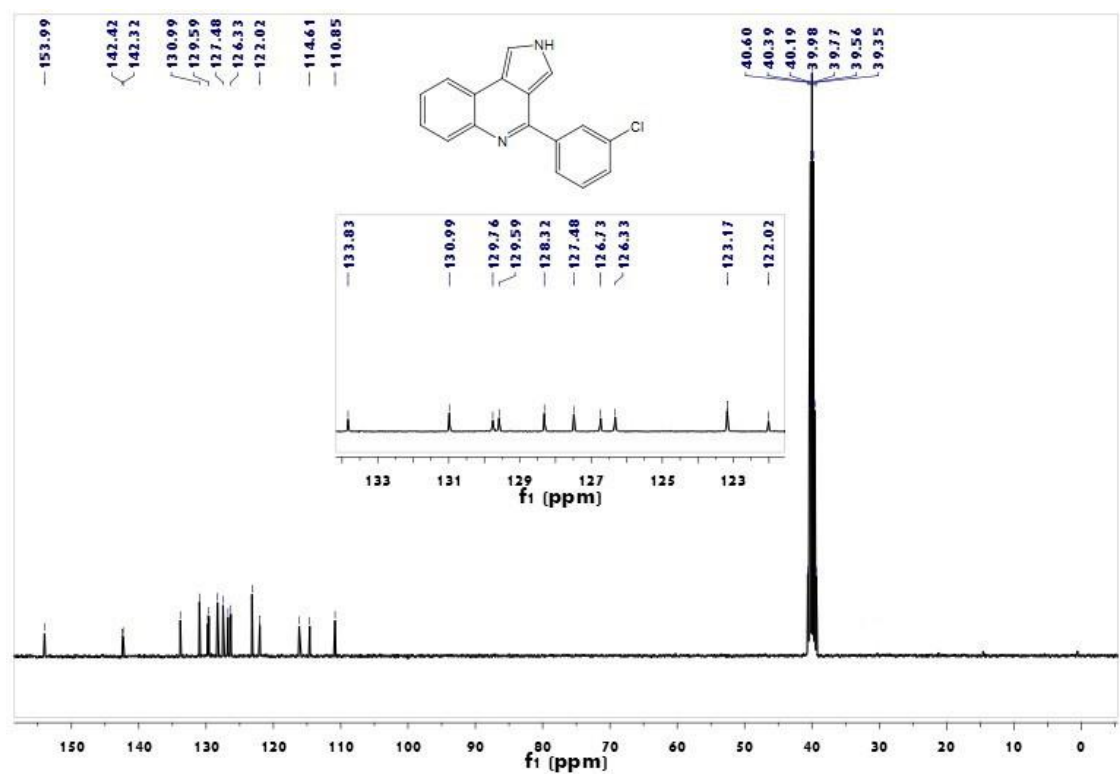
**<sup>1</sup>H NMR Spectrum of Compound 5g**



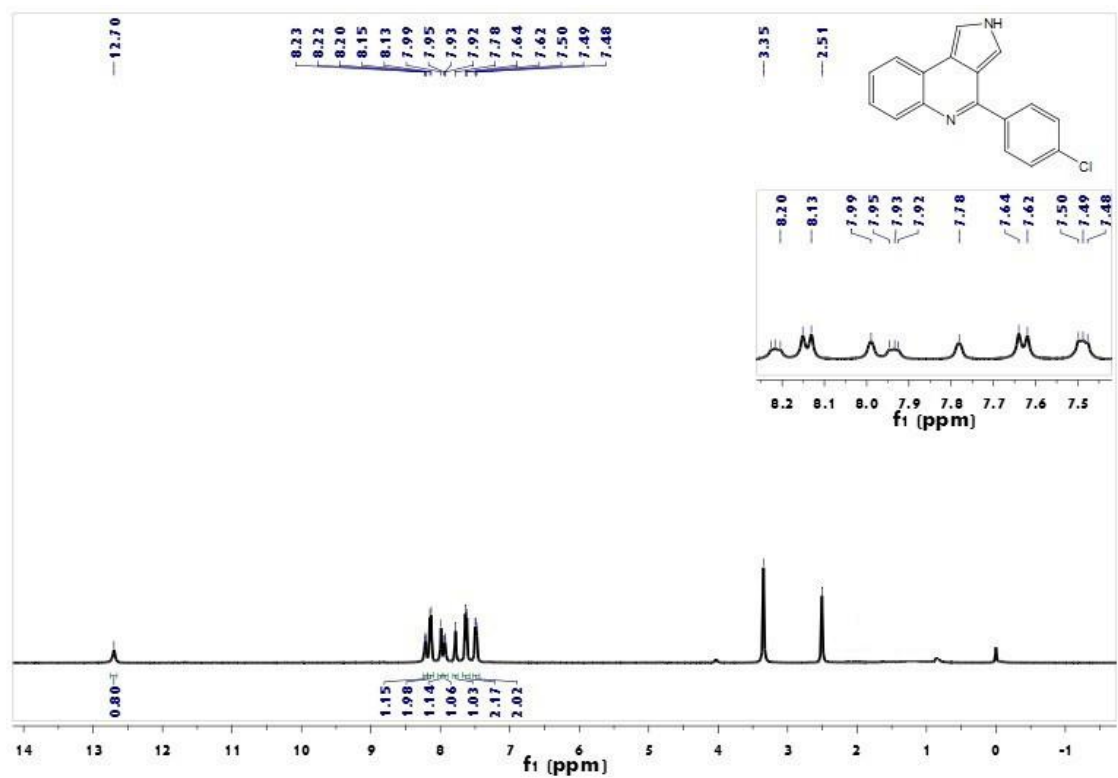
**<sup>13</sup>C NMR Spectrum of Compound 5g**



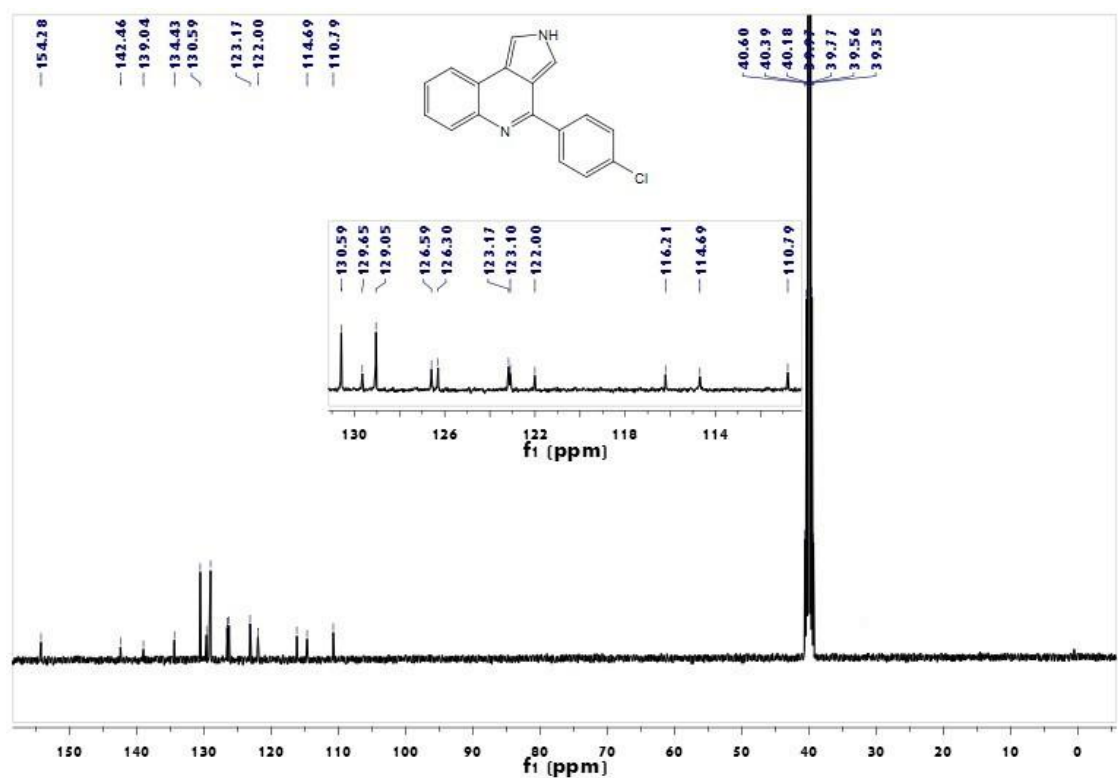
**<sup>1</sup>H NMR Spectrum of Compound 5h**



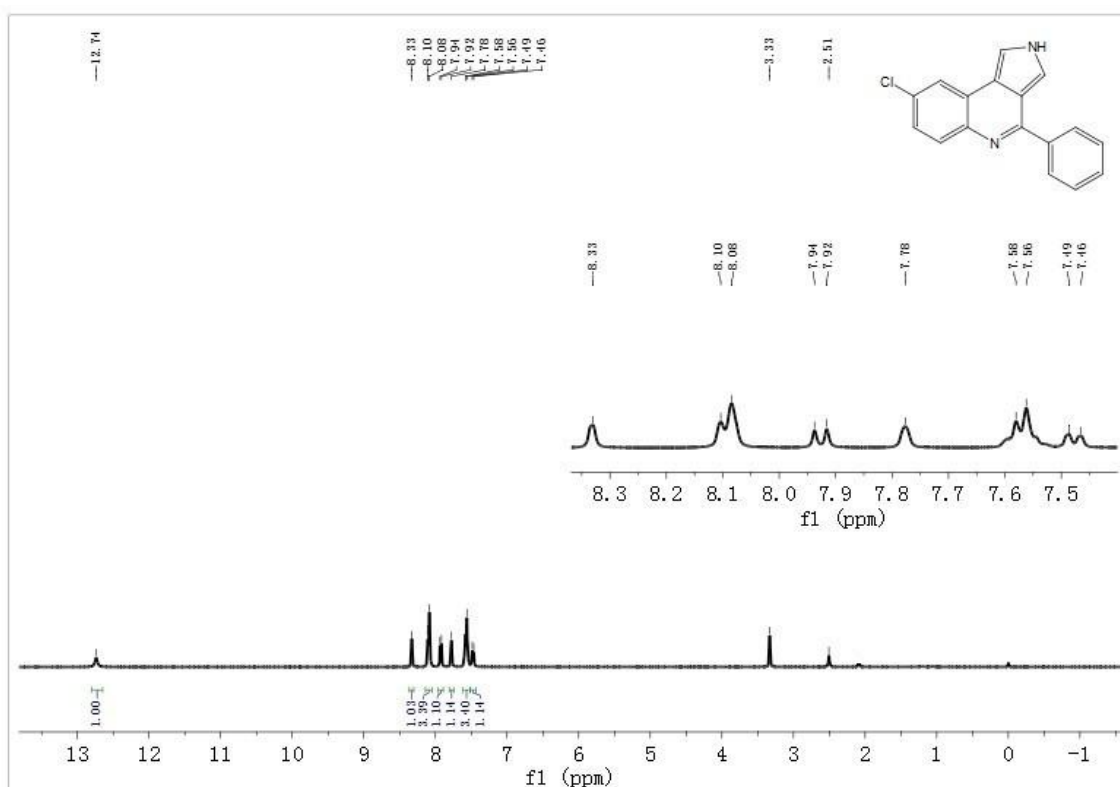
**<sup>13</sup>C NMR Spectrum of Compound 5h**



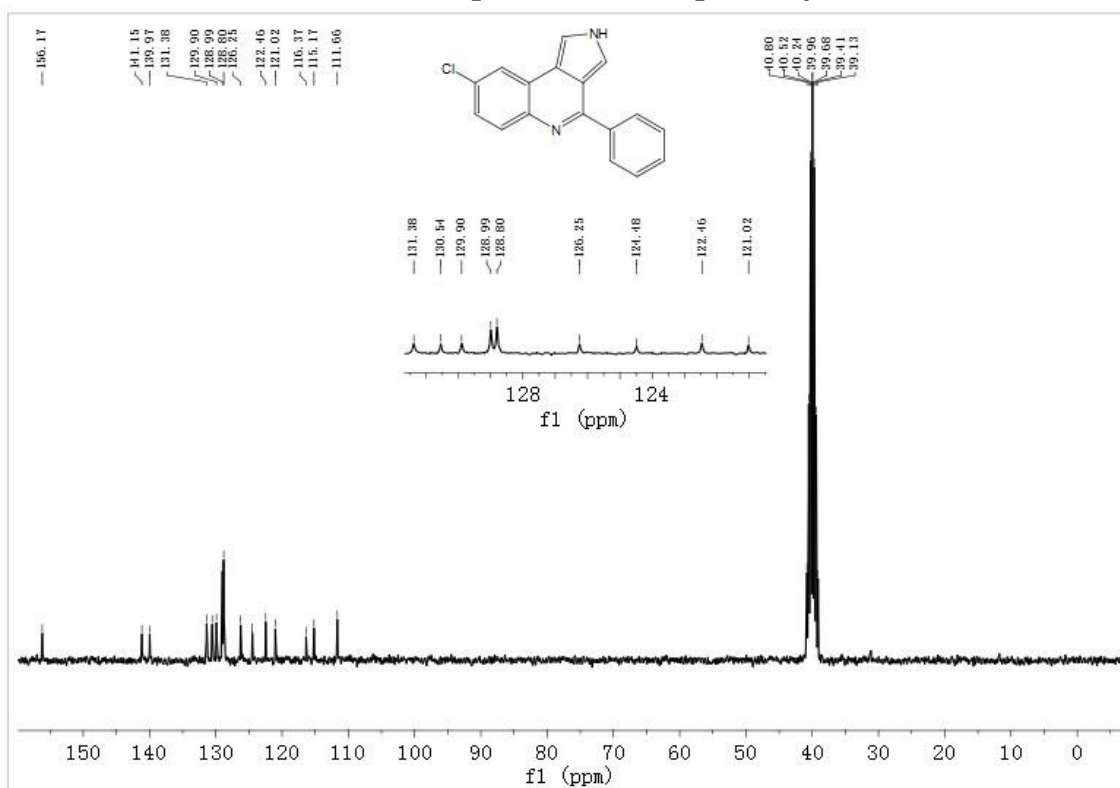
**<sup>1</sup>H NMR Spectrum of Compound 5i**



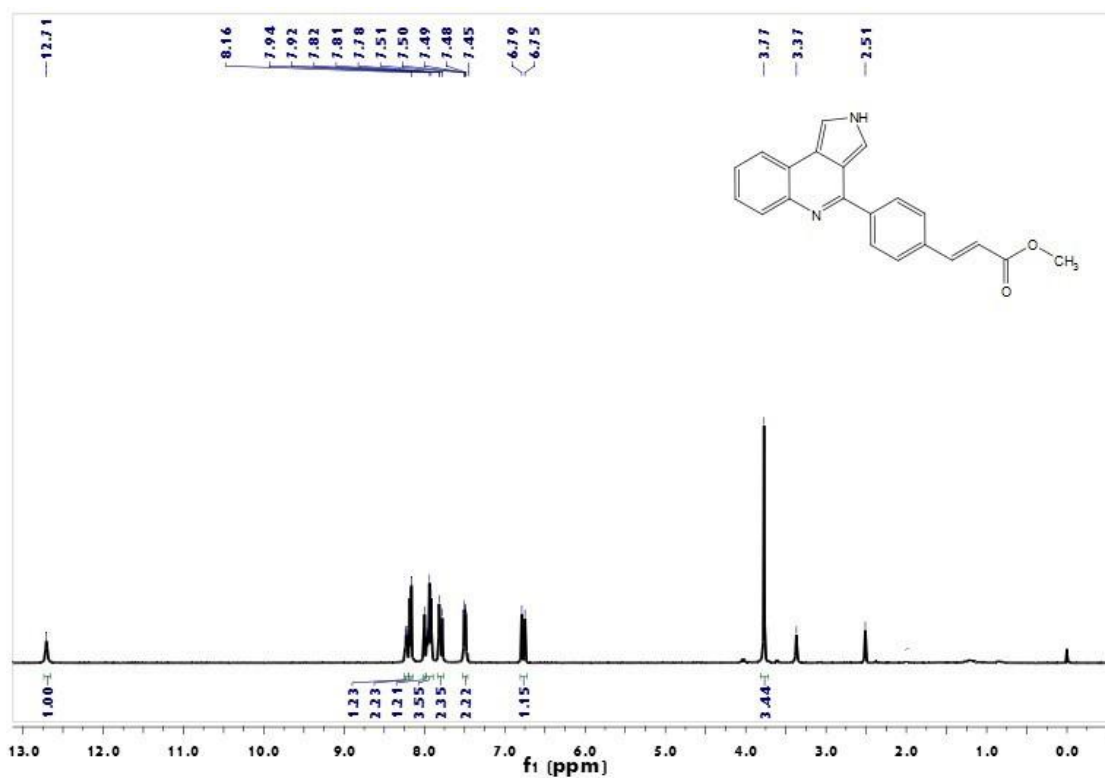
**<sup>13</sup>C NMR Spectrum of Compound 5i**



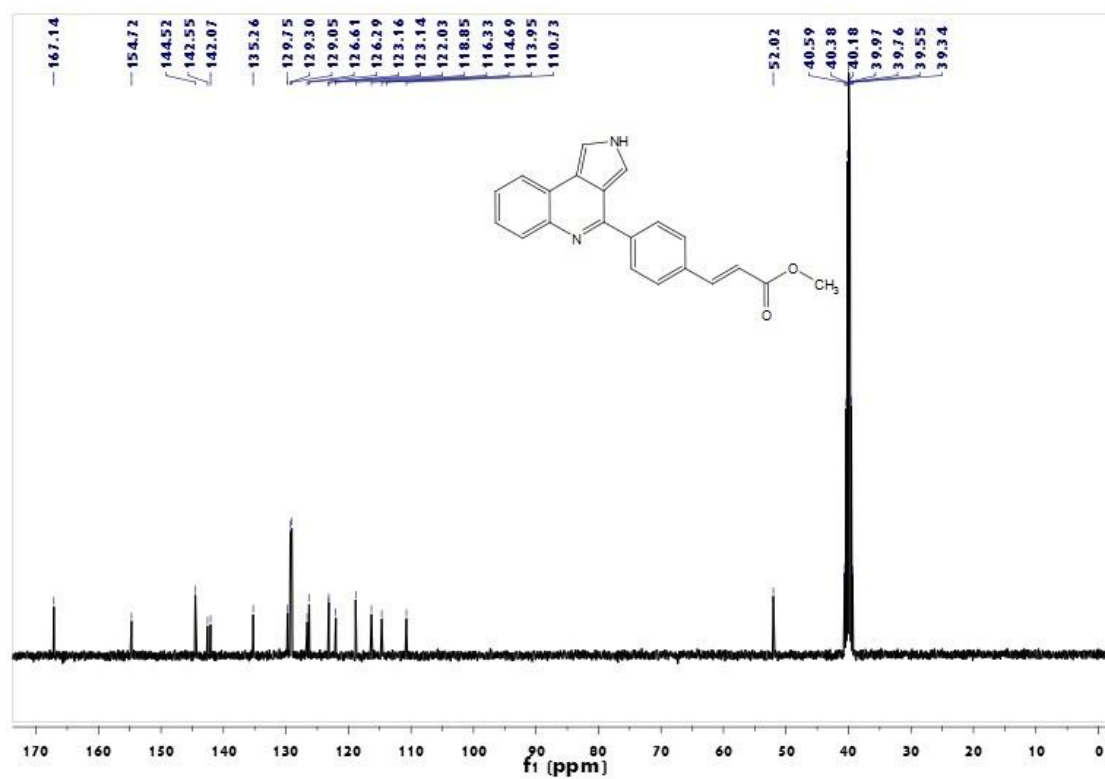
**<sup>1</sup>H NMR Spectrum of Compound 5j**



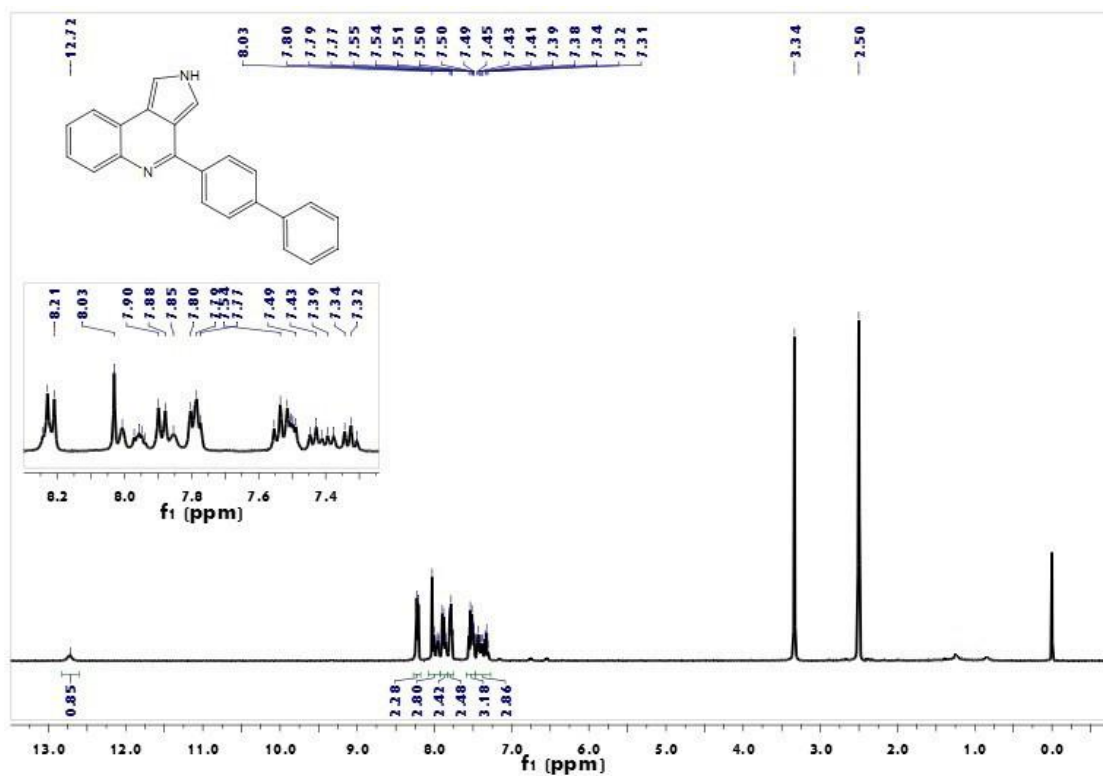
**<sup>13</sup>C NMR Spectrum of Compound 5j**



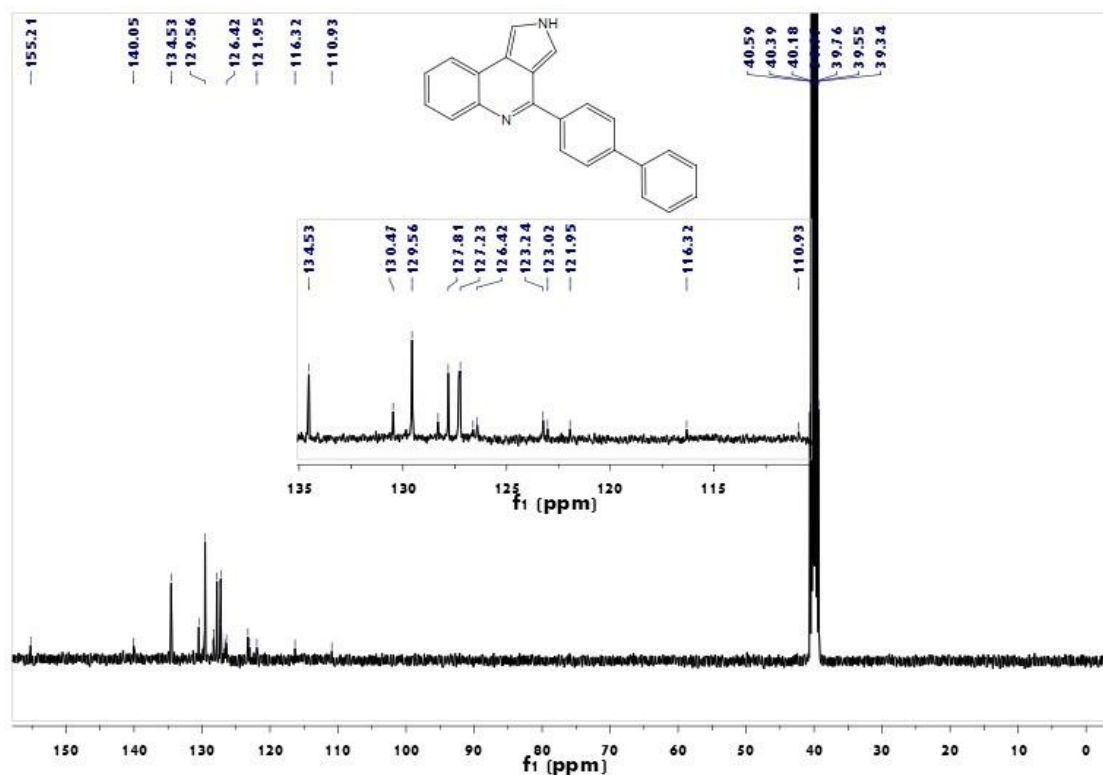
**<sup>1</sup>H NMR Spectrum of Compound 5k**



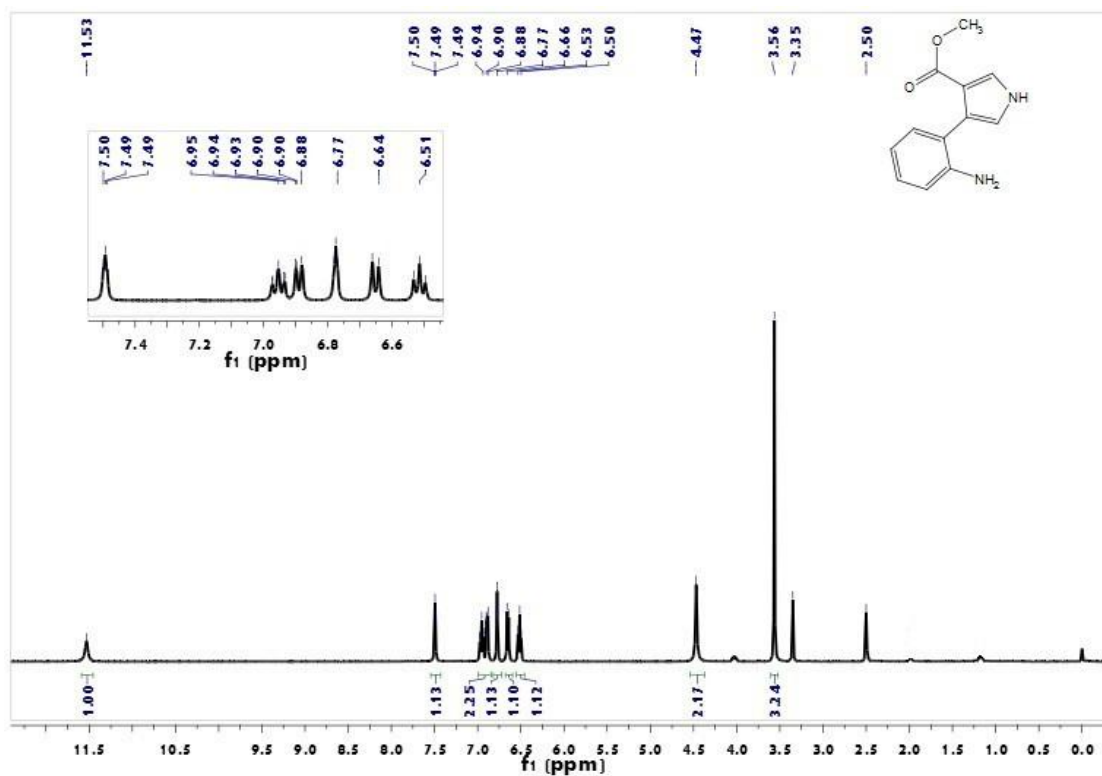
**<sup>13</sup>C NMR Spectrum of Compound 5k**



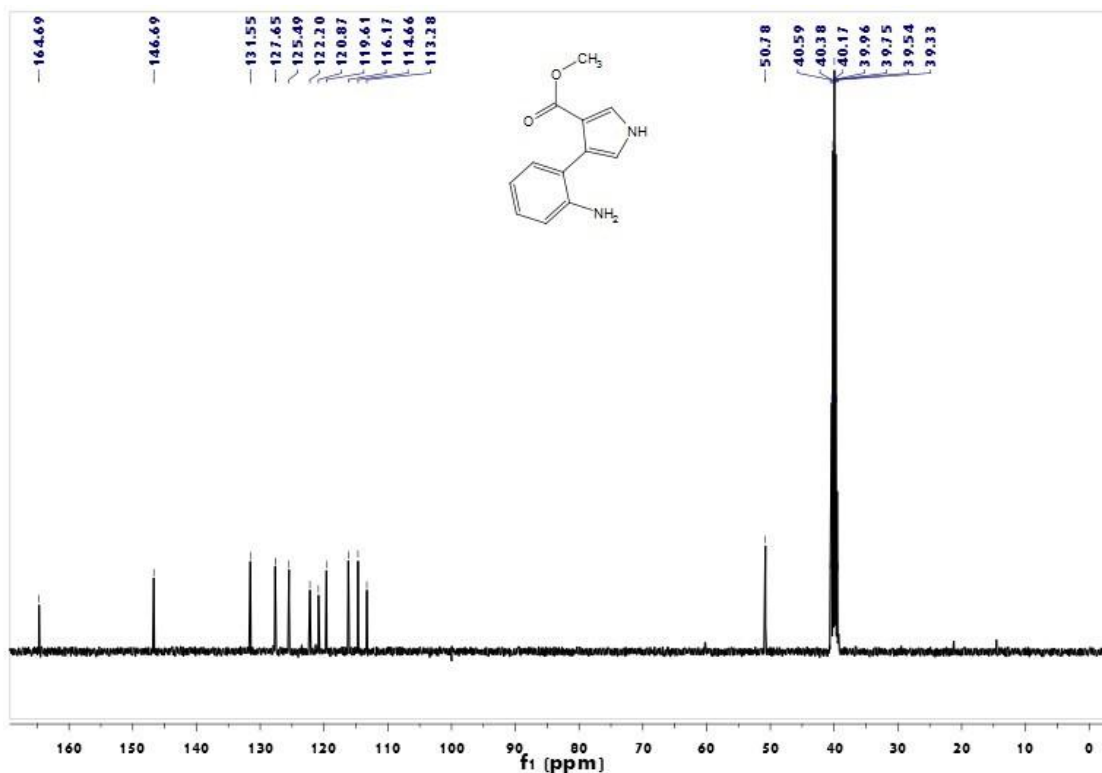
<sup>1</sup>H NMR Spectrum of Compound 51



<sup>13</sup>C NMR Spectrum of Compound 51



**<sup>1</sup>H NMR Spectrum of Compound 6a**



**<sup>13</sup>C NMR Spectrum of Compound 6a**