

## Supplementary Information

### **A Concise Five-Step Synthesis of Erlotinib via Direct Schmidt Nitrilation and Microwave-Assisted Cyclization**

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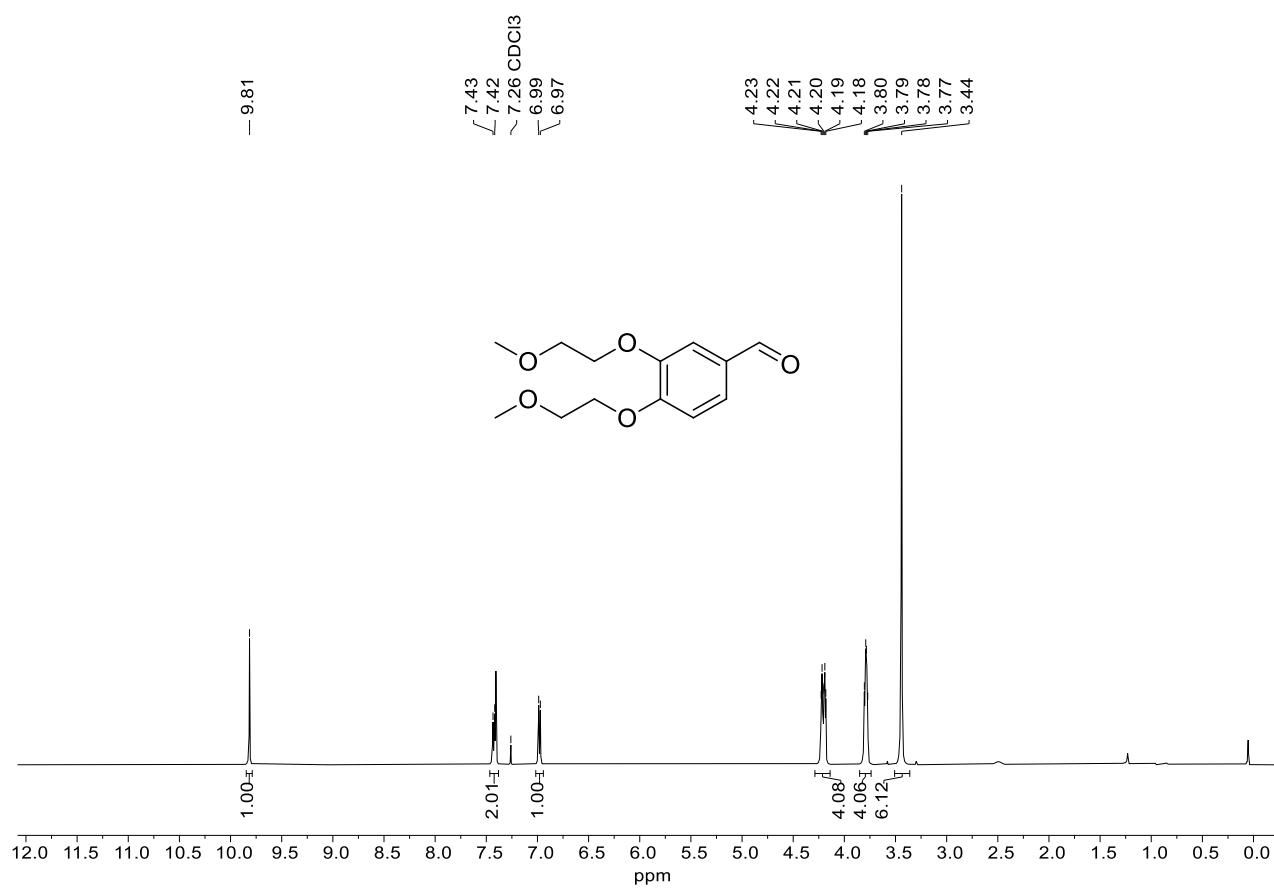
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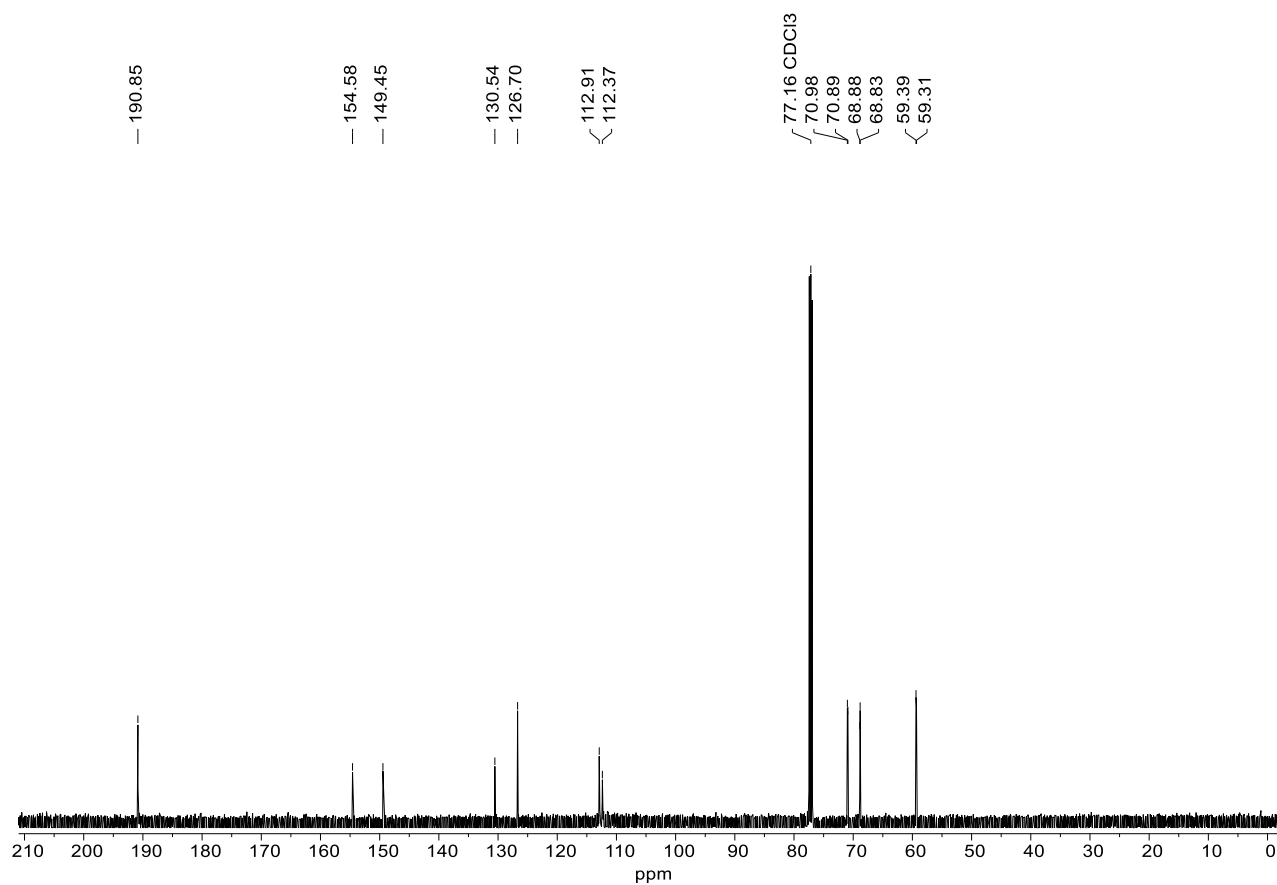
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HRMS,  $^1\text{H}$  and  $^{13}\text{C}$  NMR spectra for all synthesized compounds

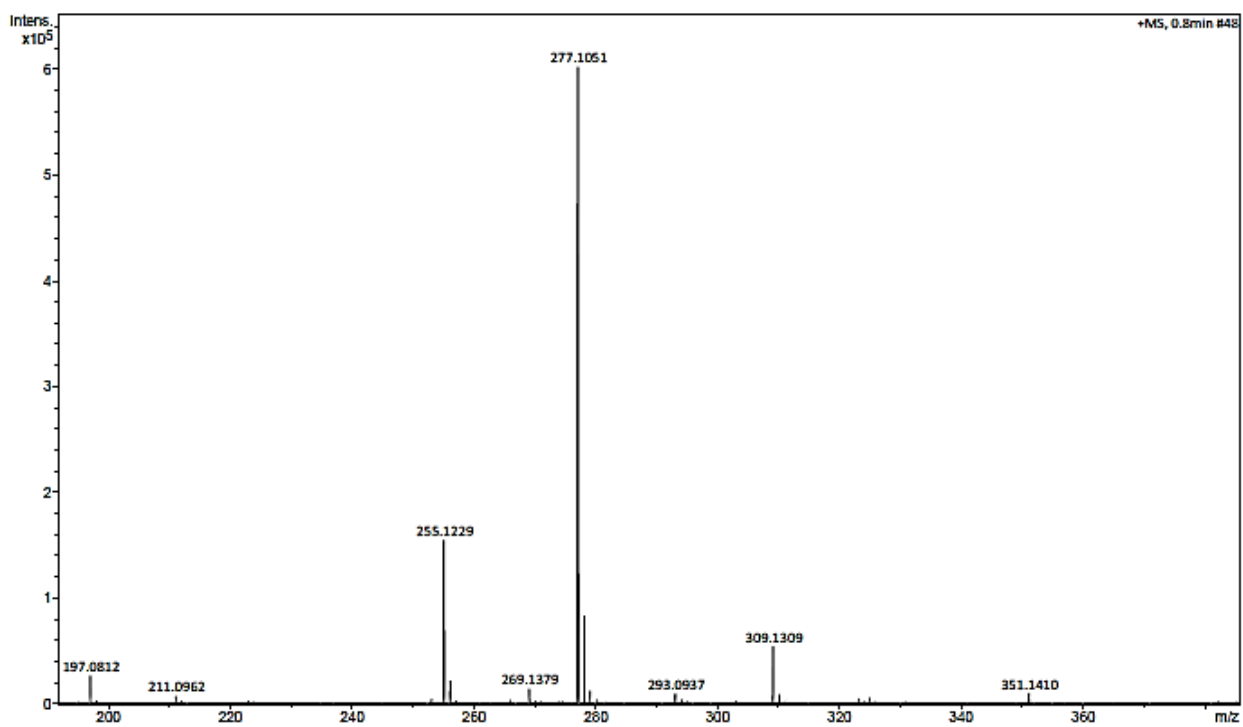
3,4-Bis(2-methoxyethoxy)benzaldehyde (**1a**)<sup>1</sup>



**Figure S1.**  $^1\text{H}$  NMR spectrum (500 MHz,  $\text{CDCl}_3$ ) of compound **1a**.

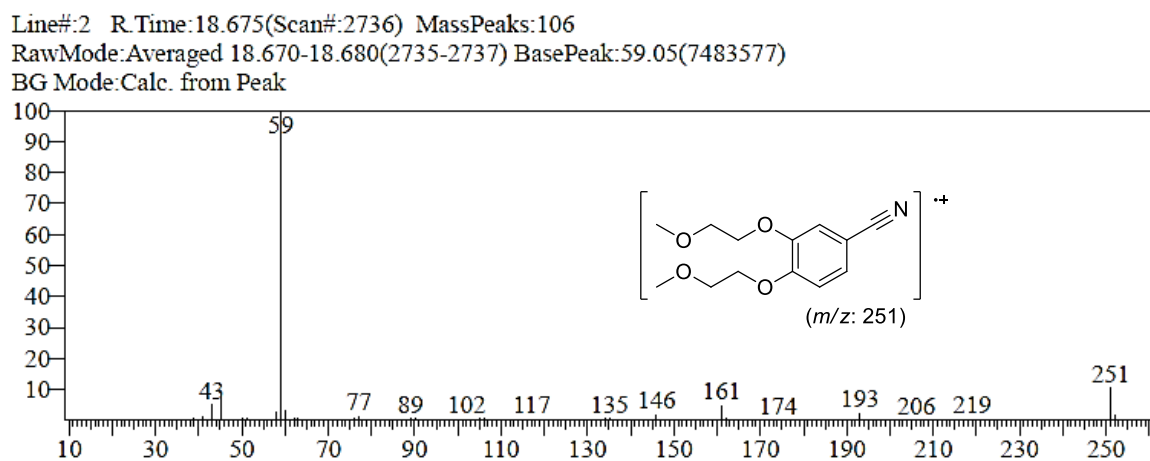


**Figure S2.** <sup>13</sup>C NMR spectrum (126 MHz, CDCl<sub>3</sub>) of compound **1a**.



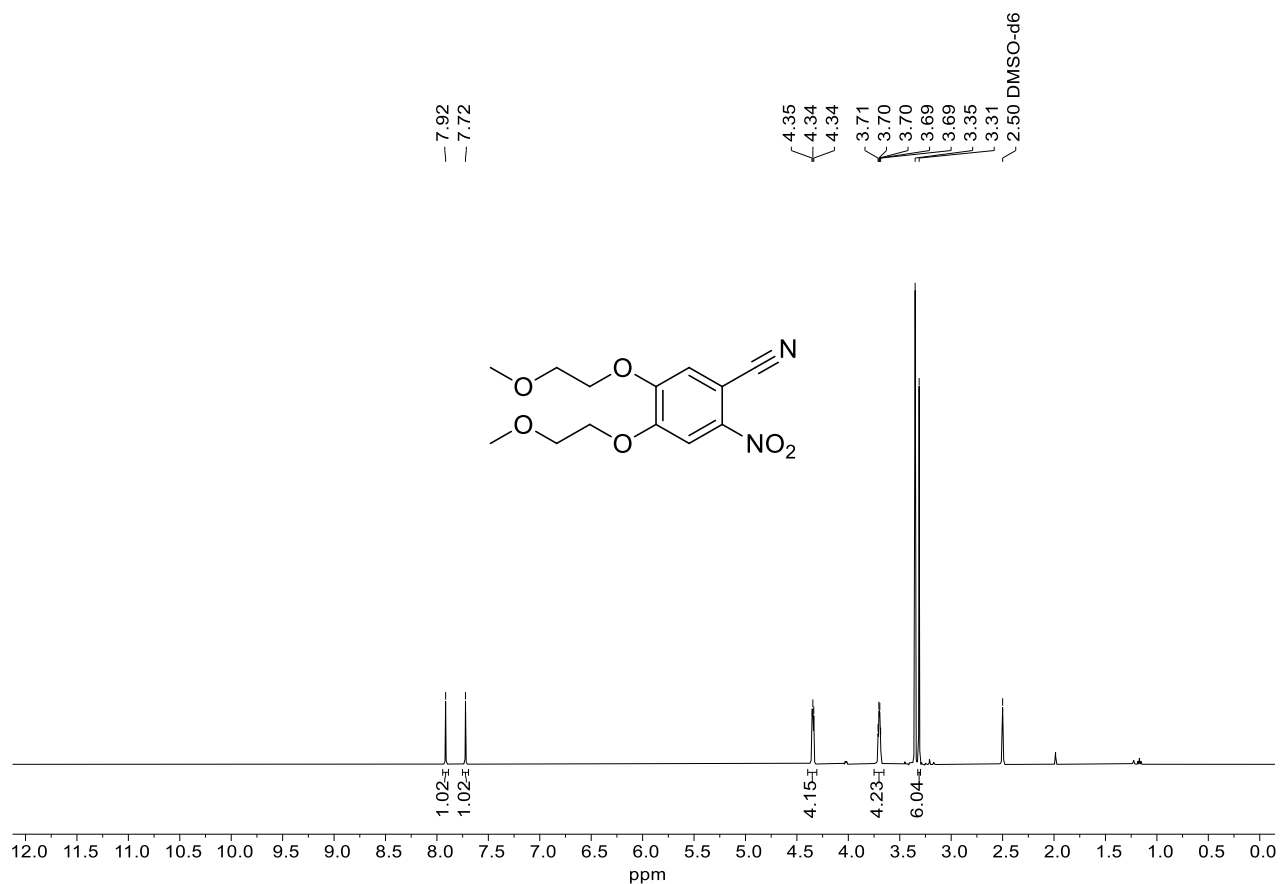
**Figure S3.** Mass spectrum (HRMS) of compound **1a**.

3,4-Bis(2-methoxyethoxy)benzonitrile (**2a**)<sup>2,3</sup>

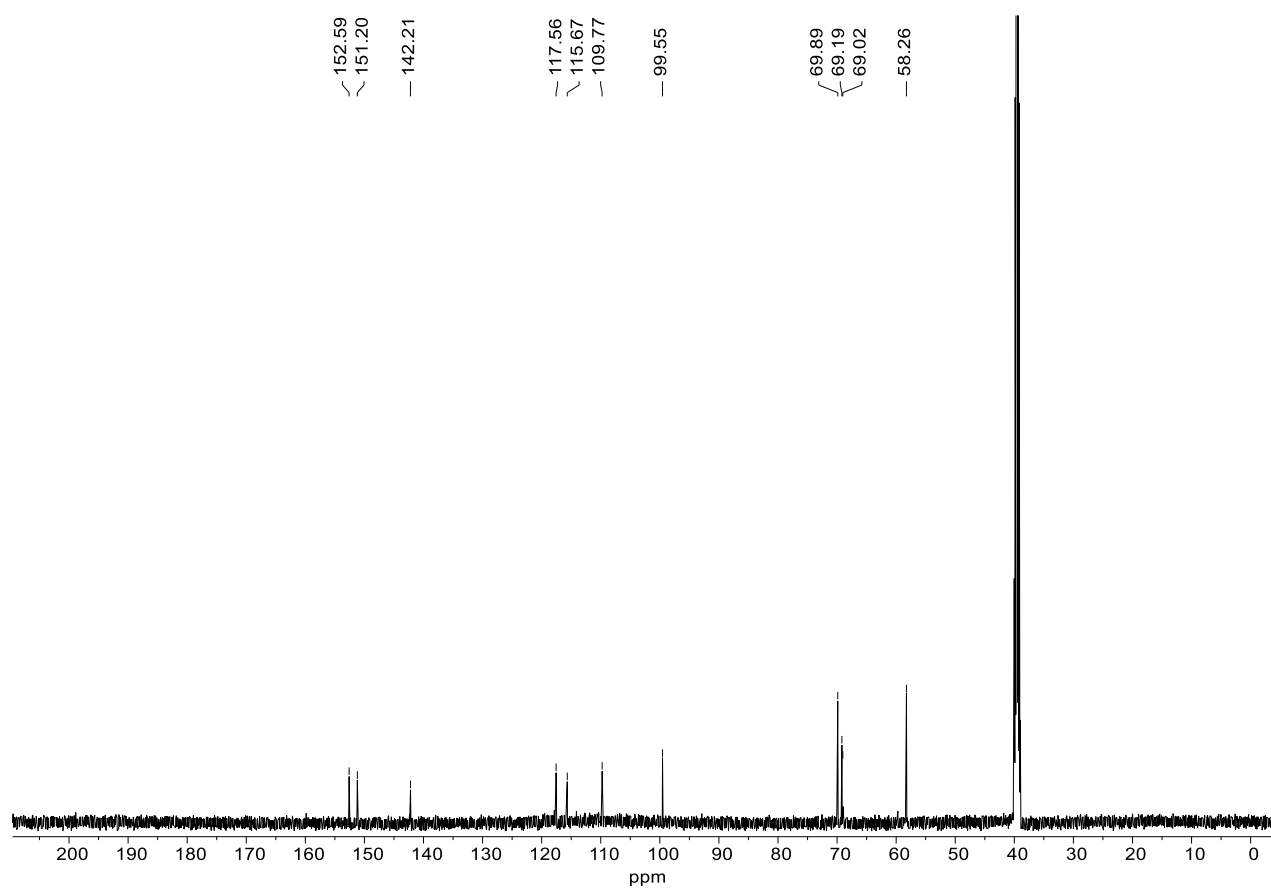


**Figure S4.** Mass spectrum (GC-MS) of compound **2a**.

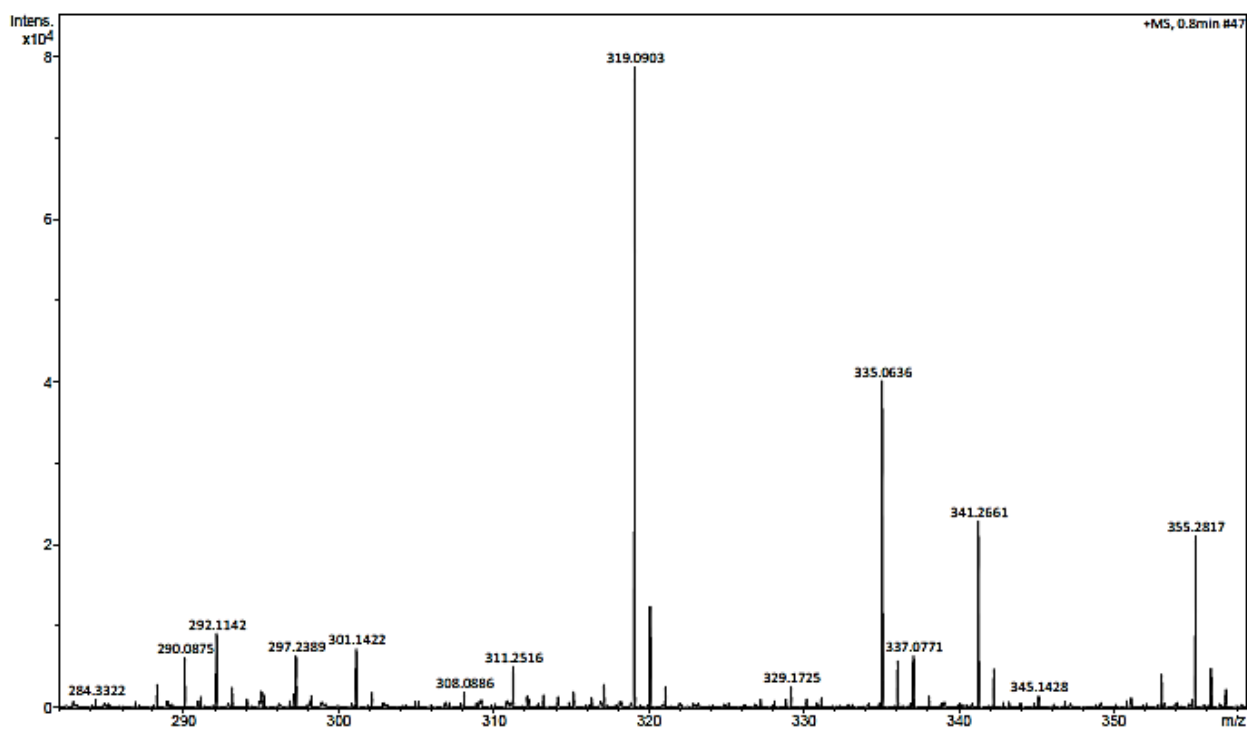
4,5-Bis(2-methoxyethoxy)-2-nitrobenzonitrile (**3a**)<sup>4</sup>



**Figure S5.** <sup>1</sup>H NMR spectrum (500 MHz, DMSO-*d*<sub>6</sub>) of compound **3a**.

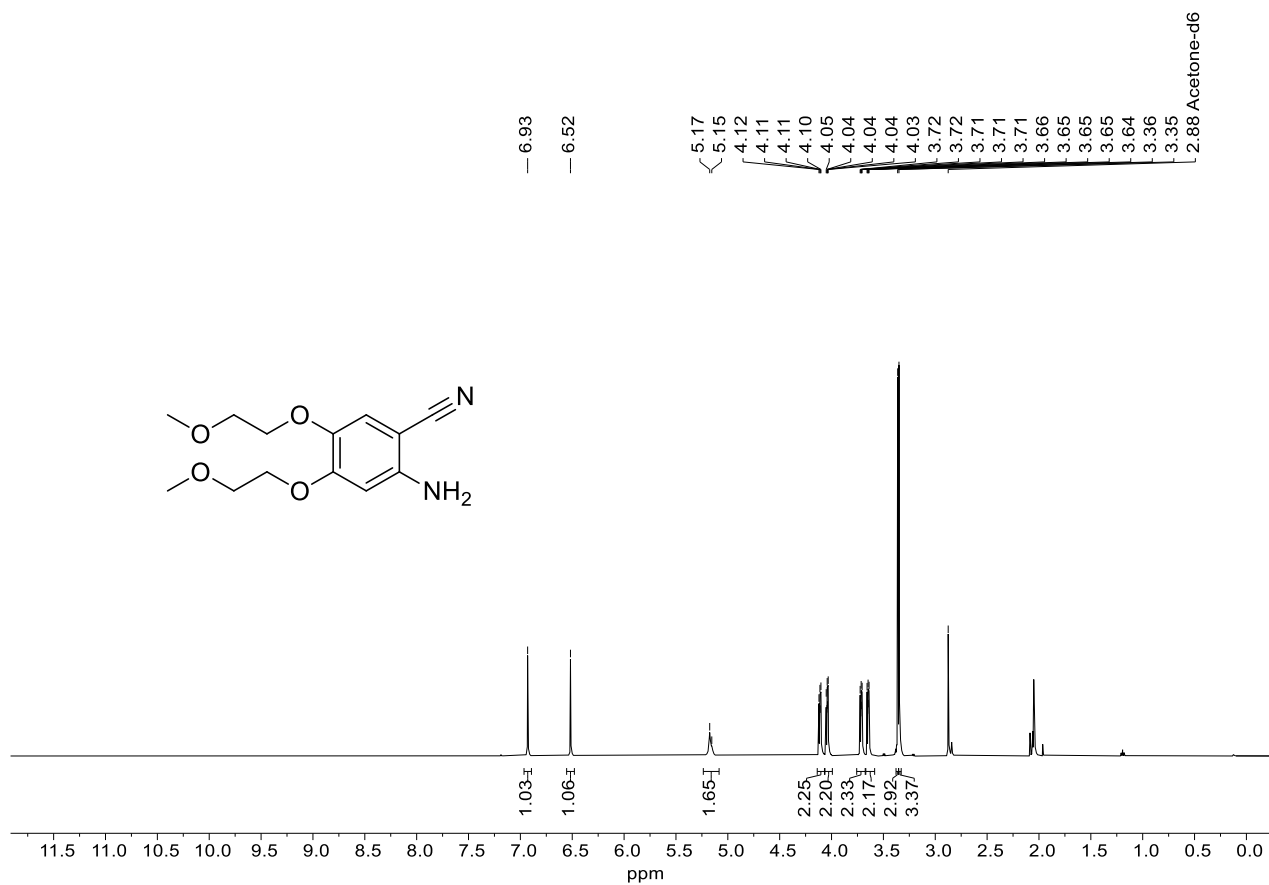


**Figure S6.**  $^{13}\text{C}$  NMR spectrum (126 MHz,  $\text{DMSO-}d_6$ ) of compound **3a**.

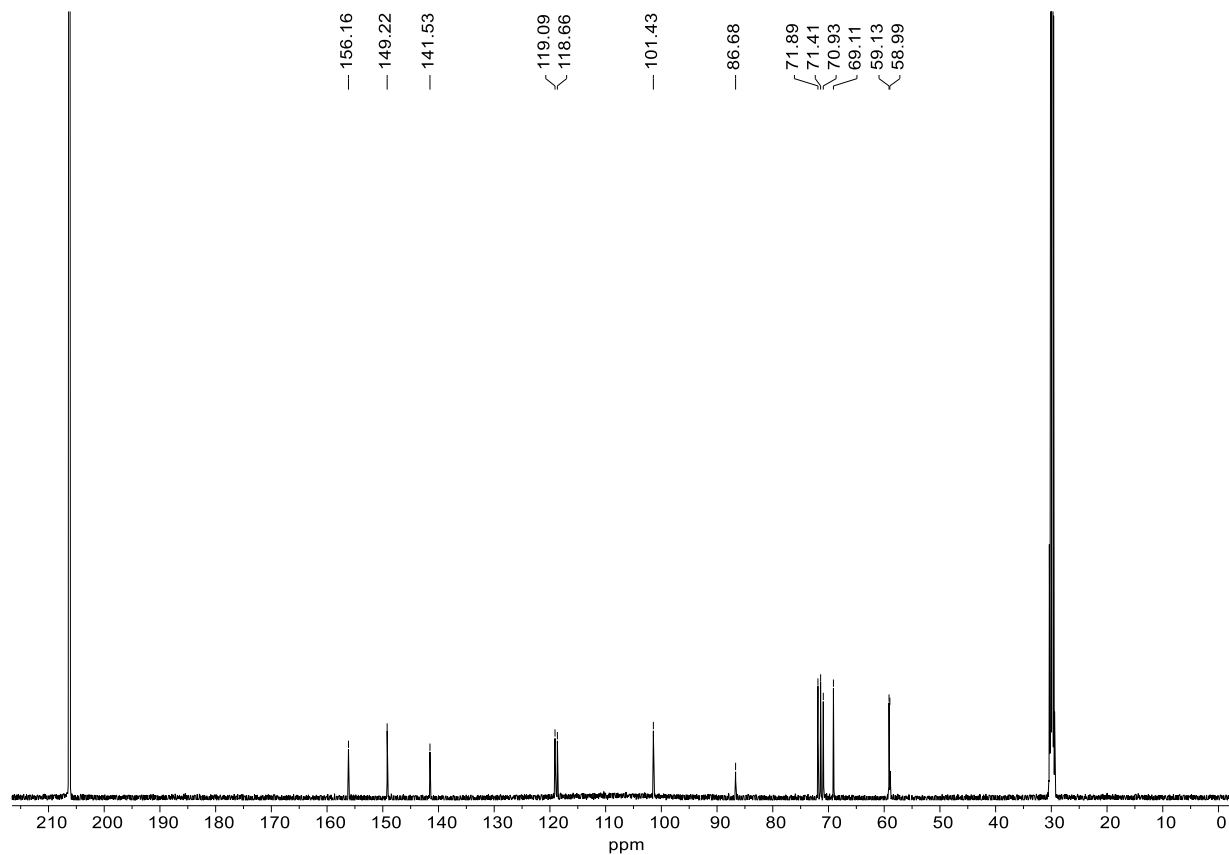


**Figure S7.** Mass spectrum (HRMS) of compound **3a**.

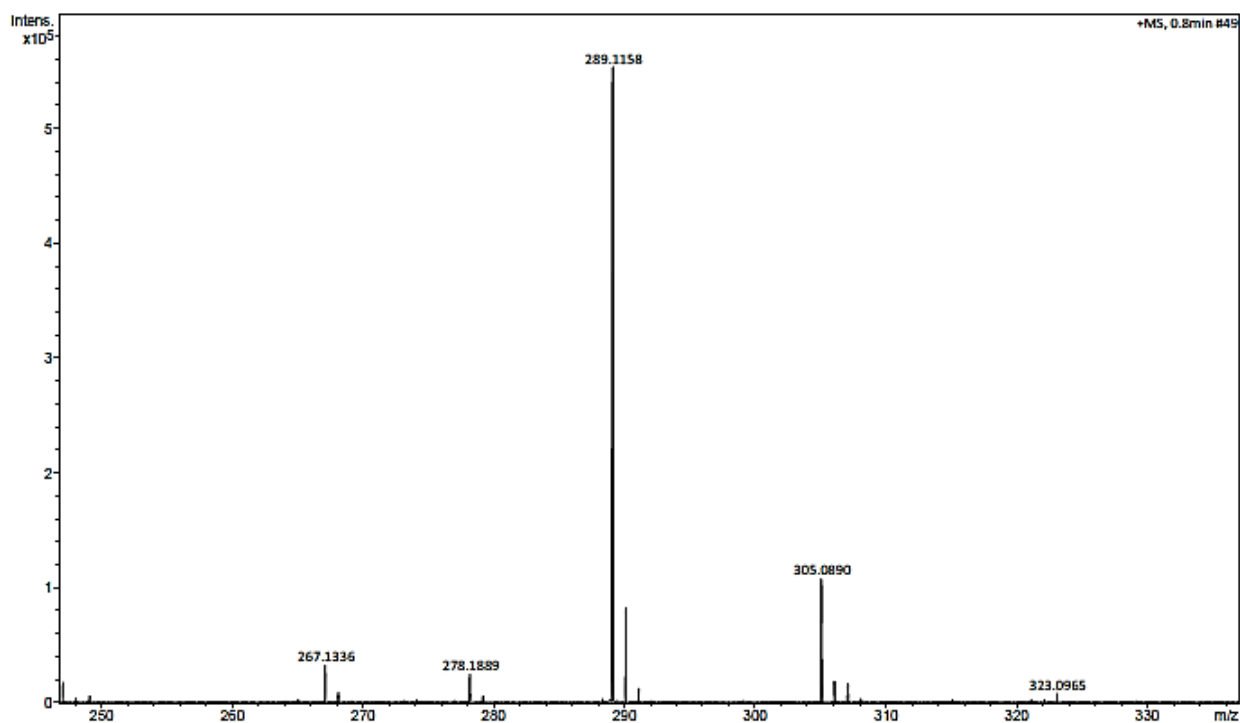
2-Amino-4,5-bis(2-methoxyethoxy)benzonitrile (**4a**)



**Figure S8.** <sup>1</sup>H NMR spectrum (500 MHz, acetone-d<sub>6</sub>) of compound **4a**.

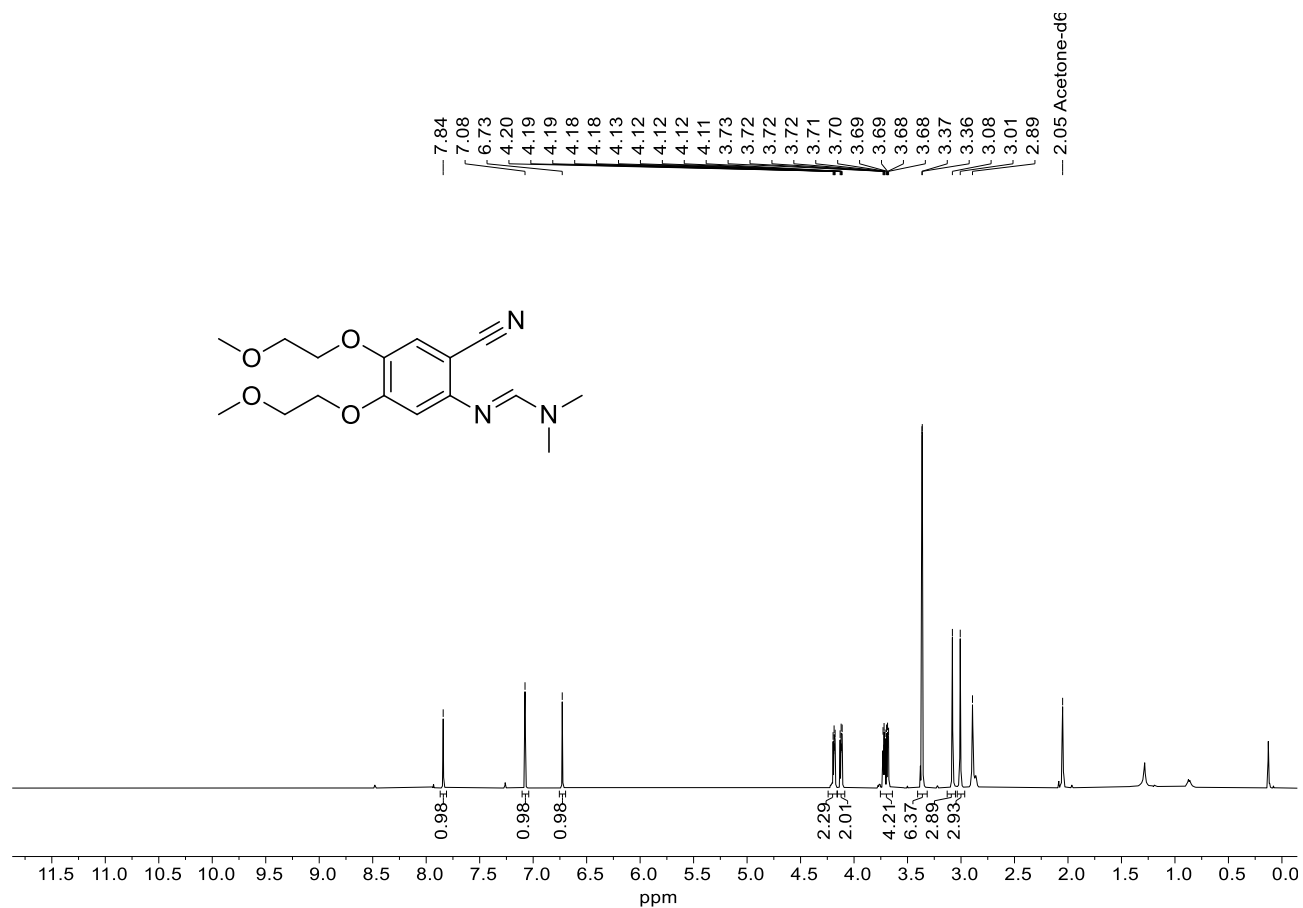


**Figure S9.** <sup>13</sup>C NMR spectrum (126 MHz, acetone-d<sub>6</sub>) of compound **4a**.



**Figure S10.** Mass spectrum (HRMS) of compound **4a**.

(*E*)-*N'*-(2-Cyano-4,5-bis(2-methoxyethoxy)phenyl)-*N,N*-dimethylformimidamide (**5a**)



**Figure S11.** <sup>1</sup>H NMR spectrum (500 MHz, acetone-*d*<sub>6</sub>) of compound **5a**.

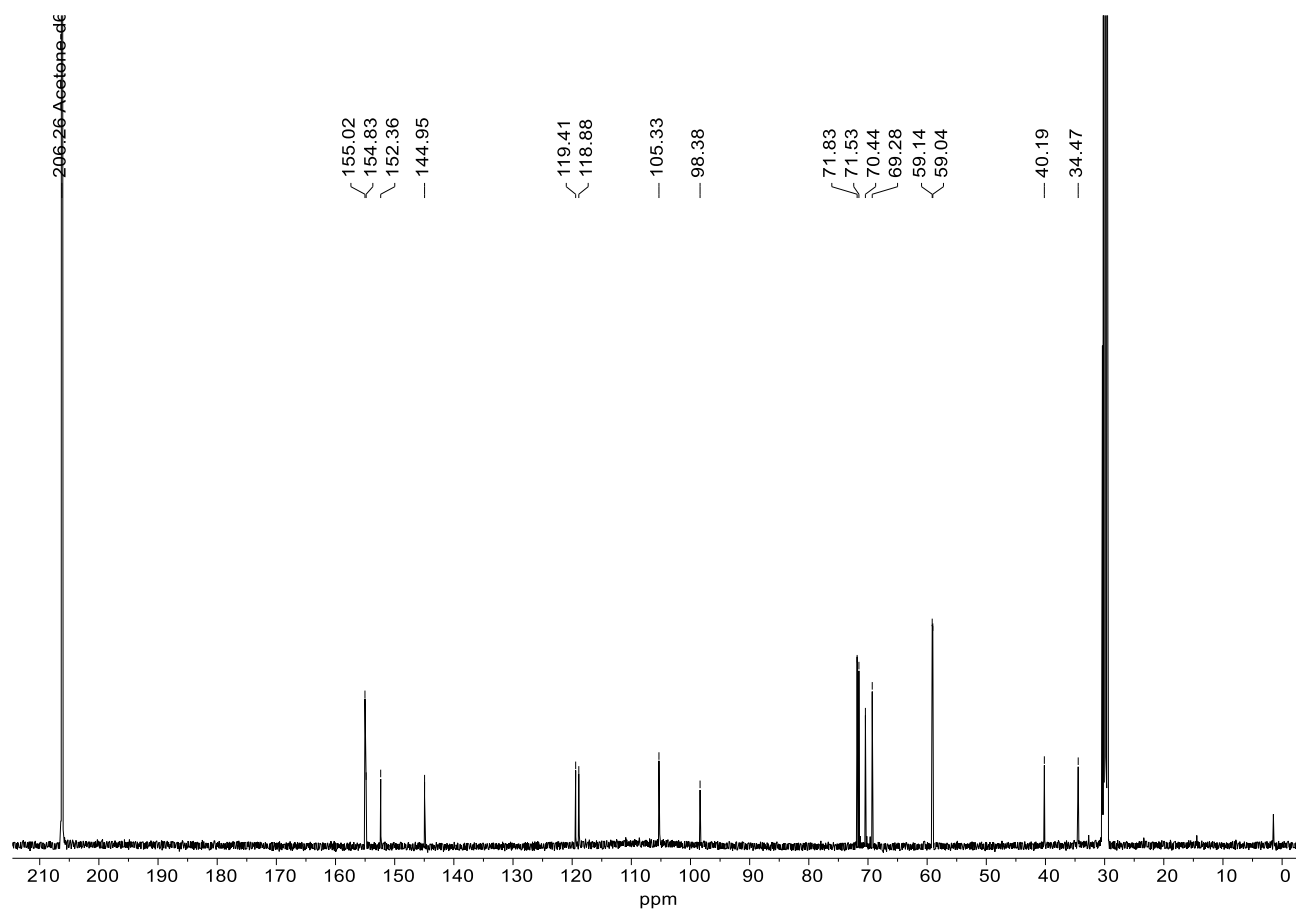


Figure S12. <sup>13</sup>C NMR spectrum (126 MHz, acetone-*d*<sub>6</sub>) of compound 5a.

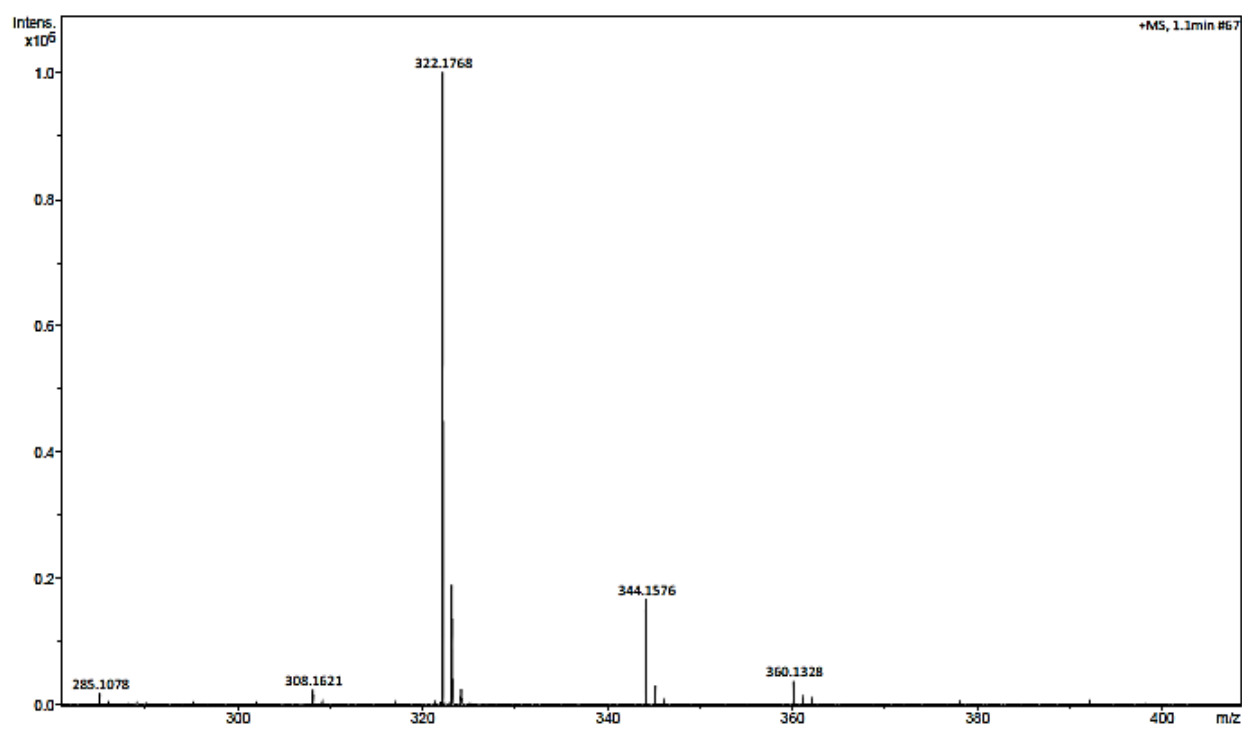
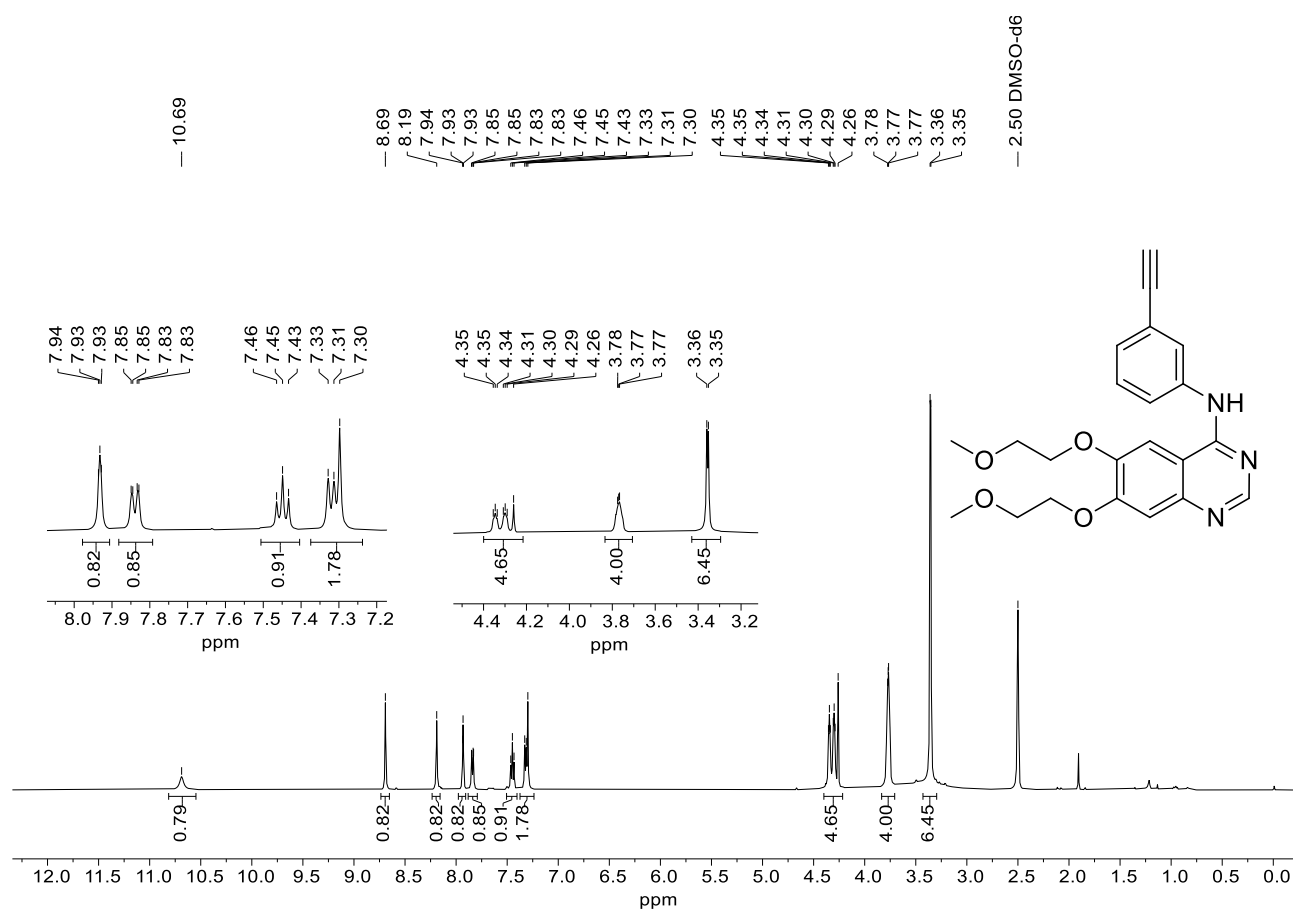


Figure S13. Mass spectrum (HRMS) of compound 5a.

*N*-(3-Ethynylphenyl)-6,7-bis(2-methoxyethoxy)quinazolin-4-amine (**6a**)<sup>4</sup>



**Figure S14.** <sup>1</sup>H NMR spectrum (500 MHz, DMSO-d<sub>6</sub>) of compound **6a**.

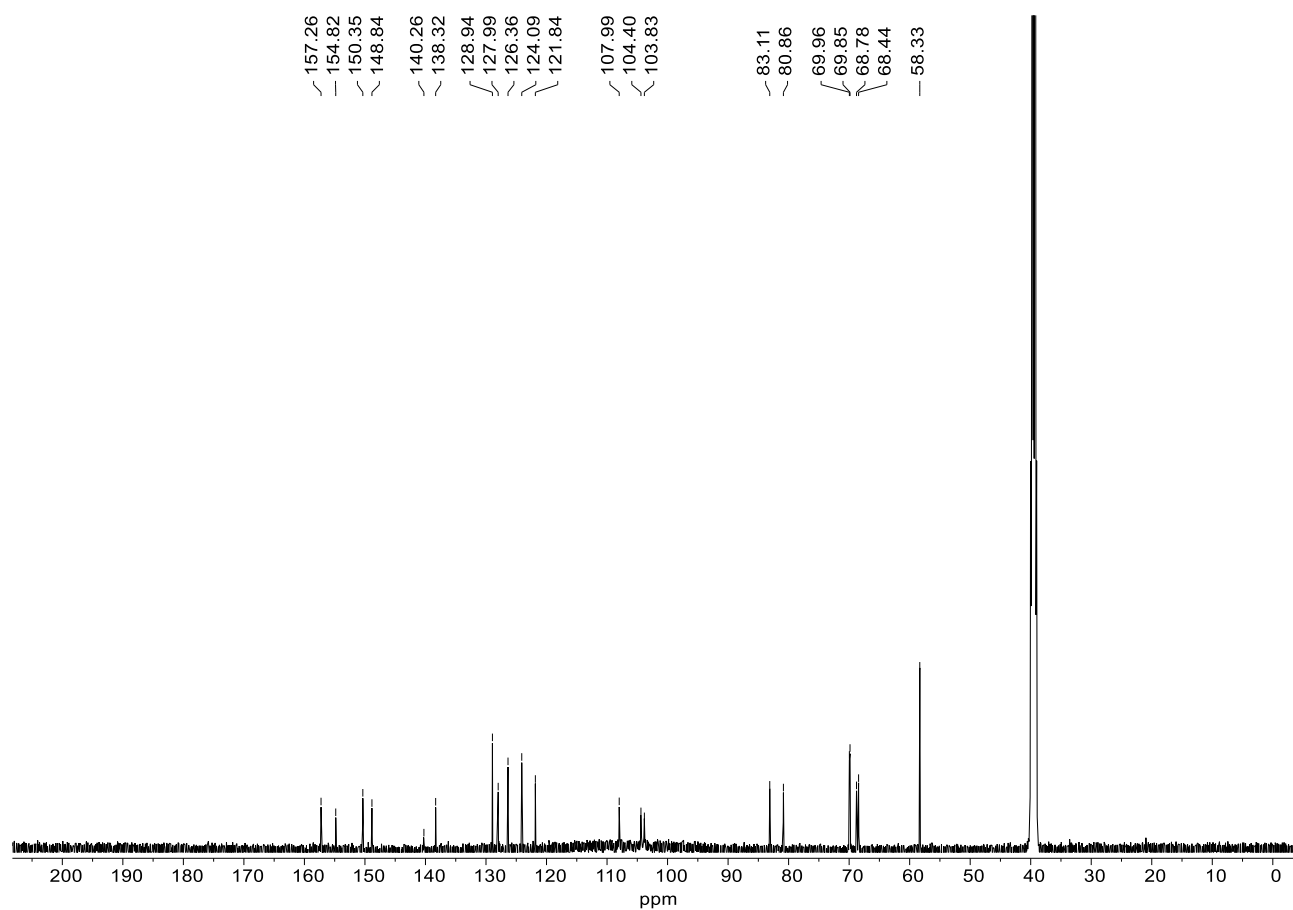


Figure S15.  $^{13}\text{C}$  NMR spectrum (500 MHz,  $\text{DMSO}-d_6$ ) of compound **6a**.

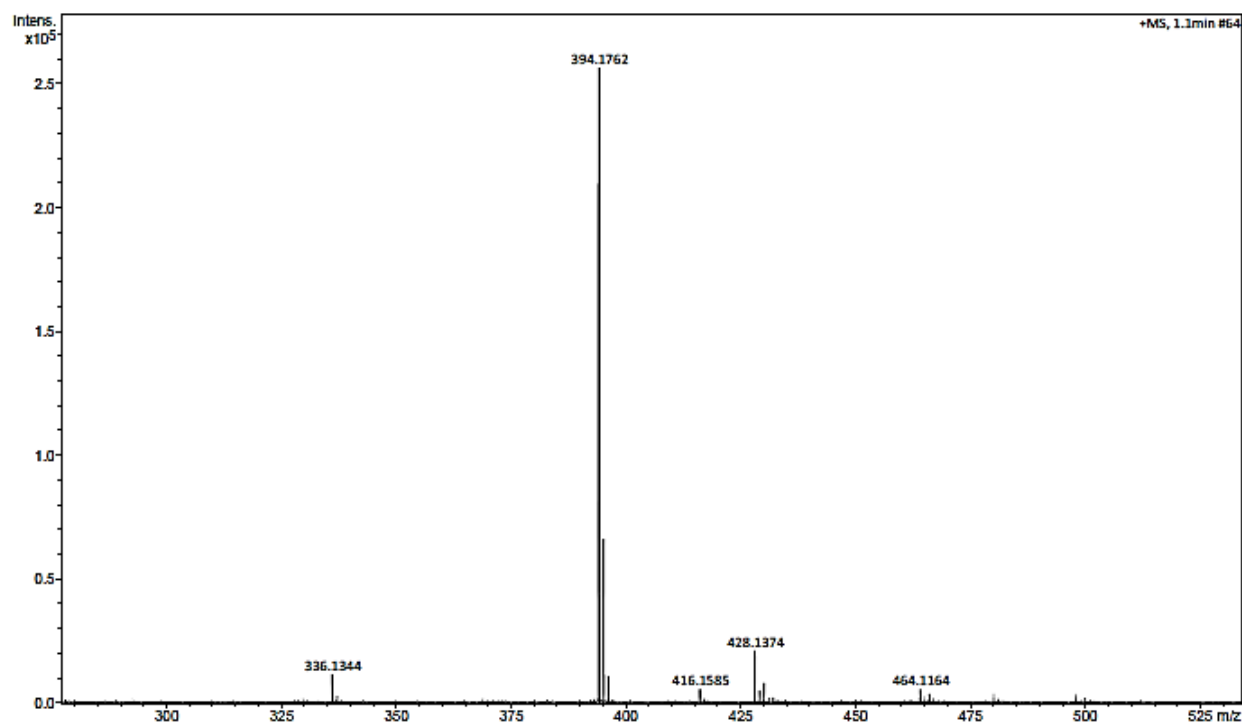


Figure S16. Mass spectrum (HRMS) of compound **6a**.

## References

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