Supporting Information

Highly Diastereoselective Synthesis of Benzothiazolo[3,2-a]pyridines via [4 + 2] Annulation Reaction of 2-Vinylbenzothiazoles and Azlactones

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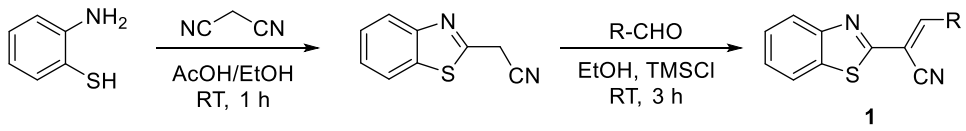
1. General Information

All isolated compounds were characterized by ^{1}H NMR and ^{13}C NMR spectra, which were characterized on an Agilent 600 MHz operating at 600 MHz and 150 MHz, respectively. Chemical shifts were reported in ppm from tetramethylsilane with the solvent resonance as the internal standard in $CDCl_3$ solution. High resolution mass spectrometry (HRMS) data were obtained on a Varian 7.0T FTMS system. Column chromatography was performed on silica gel (200-300 mesh) with petroleum ether (PE) and ethyl acetate (EA). TLC was performed on glass-backed Silica Gel GF254 plates. Tetrahydrofuran (THF), dichloromethane (DCM), dimethylformamide (DMF), toluene (Tol), acetonitrile, and dioxane were freshly distilled before use. All chemicals were used without purification as received unless otherwise stated. Unless otherwise noted, experiments were carried out under air atmosphere in oven-dried glassware. Azlactones were prepared according to the literature procedures.[1]

2. Preparation of 2-Vinylbenzothiazole derivatives



1

The 2-vinylbenzothiazole substrate **1** was smoothly synthesized according to a literature procedure.[2] A solution of 2-aminothiophenol (10 mmol), malononitrile (10 mmol) and acetic acid (10 mmol) in 50 mL ethanol were stirred for 1 h at room temperature. The precipitate was filtered off and recrystallized from ethanol to afford benzothiazol-2-yl acetonitrile. Subsequently, a solution of the corresponding aldehyde (5 mmol), benzothiazol-2-yl acetonitrile (5 mmol) and TMSCl (1.3 mL) in 10 mL ethanol were stirred for 3 h at room temperature. The mixture was poured into 20 mL H_2O, the precipitated solid was filtered and washed by isopropanol to afford the 2-vinyl-benzothiazole **1**.

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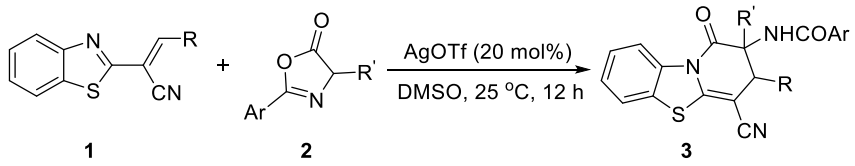
[1] (a) M. Weber, S. Jautze, W. Frey and R. Peters, *Chem. Eur. J.*, 2012, **18**, 14792; (b) C. Shu, H. Liu, A. M. Z. Slawin, C. Carpenter-Warren and A. D. Smith, *Chem. Sci.*, 2020, **11**, 241.

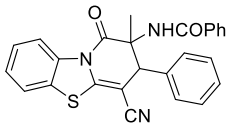
[2] K. Wang, C. Chen, X. Liu, D. Li, T. Peng, X. Liu, D. Yang and L. Wang, *Org. Lett.*, 2018, **20**, 5260.

3. General procedure for [4 + 2] annulation reactions

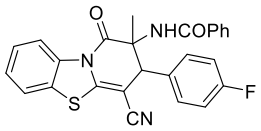


A solution of 2-vinylbenzothiazole **1** (0.1 mmol, 1.0 equiv.), azlactone **2** (0.15 mmol, 1.5 equiv.) and catalyst AgOTf (0.02 mmol, 20 mol%) in 1 mL DMSO were stirred for 12 h at room temperature. When the completion of the reaction by TLC analysis, the residue was purified by flash column chromatography on silica gel to give the desired product **3**.

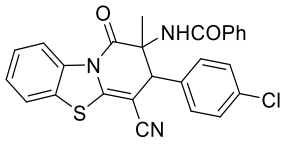
4. Characteration of products



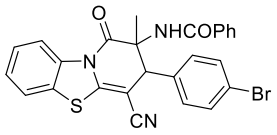
3a was isolated as a white solid (41.9 mg, 96% yield); mp 226 – 227 ℃; -85% ee, the ee was determined by HPLC analysis [Daicel IA, *n*-hexane/*i*-PrOH = 80/20, 1.0 mL/min, λ = 254 nm, t (major) = 21.28 min, t (minor) = 28.63 min]. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (s, 1H), 7.74 (d, *J* = 7.2 Hz, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 7.7 Hz, 1H), 7.37 – 7.35 (m, 3H), 7.31 (t, *J* = 8.0 Hz, 1H), 7.28 – 7.26 (m, 3H), 6.05 (s, 1H), 5.23 (s, 1H), 1.42 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.1, 167.3, 153.6, 137.8, 134.1, 133.4, 132.2, 130.3, 128.7, 127.1, 126.2, 123.9, 121.7, 118.2, 117.8, 80.2, 60.6, 45.4, 45.4, 19.8. HRMS (ESI) m/z calcd for $C_{26}H_{19}N_3O_2S$, $[M + Na]^+$: 460.1090, Found: 460.1085.



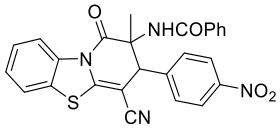
3b was isolated as a white solid (41.0 mg, 90% yield); mp 228 – 229 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 7.2 Hz, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.8 Hz, 2H), 7.41 – 7.38 (m, 1H), 7.34 – 7.30 (m, 1H), 7.28 – 7.26 (m, 3H), 7.07 (t, *J* = 8.5 Hz, 2H), 5.97 (s, 1H), 5.29 (s, 1H), 1.42 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 153.8, 137.8, 133.2, 132.3, 128.8, 127.1, 126.4, 123.9, 121.7, 118.2, 117.8, 115.5, 80.0, 60.7, 44.5, 19.7. ^{19}F NMR (564 MHz, $CDCl_3$) δ -113.06 (s). HRMS (ESI) m/z calcd for $C_{26}H_{18}FN_3O_2S$, $[M + Na]^+$: 478.0996, Found: 478.0976.



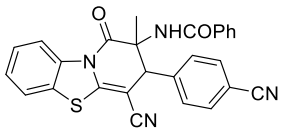
3c was isolated as a white solid (43.2 mg, 92% yield); mp 237 – 239 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.1 Hz, 1H), 7.74 (d, *J* = 7.3 Hz, 2H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 7.7 Hz, 1H), 7.36 – 7.26 (m, 4H), 7.21 (d, *J* = 7.8 Hz, 2H), 6.01 (s, 1H), 5.27 (s, 1H), 1.40 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 167.4, 153.9, 137.8, 134.6, 133.1, 132.6, 132.4, 131.6, 128.8, 128.6, 127.2, 127.1, 126.4, 123.8, 121.7, 118.2, 117.7, 79.6, 60.5, 44.7, 19.7. HRMS (ESI) m/z calcd for $C_{26}H_{18}ClN_3O_2S$, $[M]^+$: 470.0725, Found: 470.0734.



3d was isolated as a white solid (45.8 mg, 89% yield); mp 241 – 242 ℃; -93% ee, the ee was determined by HPLC analysis [Daicel IA, *n*-hexane/*i*-PrOH = 80/20, 1.0 mL/min, λ = 254 nm, t (major) = 24.57 min, t (minor) = 29.37 min]. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 7.6 Hz, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.52 – 7.45 (m, 4H), 7.40 (d, *J* = 7.6 Hz, 1H), 7.34 – 7.27 (m, 2H), 7.17 (d, *J* = 7.8 Hz, 2H), 5.97 (s, 1H), 5.28 (s, 1H), 1.41 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.4, 153.9, 133.2, 132.4, 131.6, 128.8, 127.2, 126.4, 123.8, 122.8, 121.7, 118.2, 117.7, 79.6, 60.5, 44.7, 19.7. HRMS (ESI) m/z calcd for $C_{26}H_{18}BrN_3O_2S$, $[M]^+$: 514.0219, Found: 514.0216.

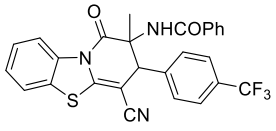


3e was isolated as a yellow solid (45.7 mg, 95% yield); mp 237 – 238 ℃.^{1}H NMR (600 MHz, DMSO-d_6) δ 8.78 (s, 1H), 8.32 – 8.18 (m, 3H), 7.83 – 7.75 (m, 3H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.54 – 7.47 (m, 4H), 7.42 – 7.38 (m, 1H), 7.36 – 7.32 (m, 1H), 5.12 (s, 1H), 1.33 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 168.1, 167.0, 154.1, 147.5, 142.8, 137.6, 133.4, 131.9, 128.5, 127.7, 126.3, 123.6, 123.0, 118.1, 116.9, 79.3, 78.2, 59.7, 46.1, 19.3. HRMS (ESI) m/z calcd for $C_{26}H_{18}N_4O_4S$, $[M]^+$: 481.0965, Found: 481.0960.

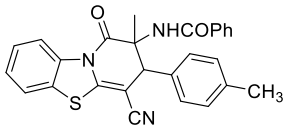


3f was isolated as a white solid (40.2 mg, 87% yield); mp 246 – 247 ℃. ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.76 (s, 1H), 8.21 (d, *J* = 7.8 Hz, 1H), 7.88 (d, *J* = 8.4 Hz, 2H), 7.81 – 7.75 (m, 3H), 7.58 (t, *J* = 7.4 Hz, 1H), 7.49 (t, *J* = 7.7 Hz, 2H), 7.43 (d, *J* = 8.2 Hz, 2H), 7.41

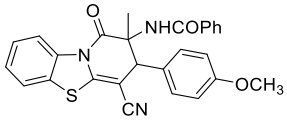
– 7.38 (m, 1H), 7.34 (t, *J* = 7.7 Hz, 1H), 5.03 (s, 1H), 1.31 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 168.1, 166.9, 154.0, 140.8, 137.5, 133.4, 132.2, 131.9, 128.4, 127.6, 126.2, 123.5, 122.9, 118.5, 118.1, 116.8, 111.2, 78.2, 59.7, 46.3, 19.2. HRMS (ESI) m/z calcd for $C_{27}H_{18}N_4O_2S$, $[M + H]^+$: 463.1223, Found: 463.1229.



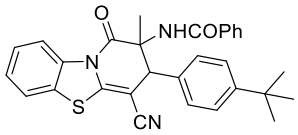
3g was isolated as a white solid (44.9 mg, 89% yield); mp 231 – 232 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.3 Hz, 1H), 7.76 (d, *J* = 7.2 Hz, 2H), 7.64 (d, *J* = 8.1 Hz, 2H), 7.58 (t, *J* = 7.5 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 2H), 7.44 – 7.39 (m, 3H), 7.35 – 7.27 (m, 2H), 6.00 (s, 1H), 5.40 (s, 1H), 1.42 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.7, 154.3, 137.8, 133.0, 132.5, 130.8, 128.8, 127.2, 126.5, 125.4, 123.8, 121.7, 118.2, 117.6, 79.1, 60.5, 45.1, 19.7. ^{19}F NMR (564 MHz, $CDCl_3$) δ -62.65 (s). HRMS (ESI) m/z calcd for $C_{27}H_{18}F_3N_3O_2S$, $[M + H]^+$: 504.0988, Found: 504.0992.



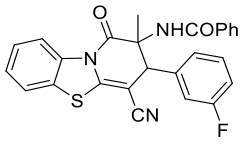
3h was isolated as a white solid (38.3 mg, 85% yield); mp 226 – 227 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 7.6 Hz, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 2H), 7.41 – 7.38 (m, 1H), 7.31 (dd, *J* = 8.1, 7.6 Hz, 1H), 7.27 – 7.21 (m, 1H), 7.16 (s, 4H), 5.99 (s, 1H), 5.20 (s, 1H), 2.35 (s, 3H), 1.43 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 167.3, 153.4, 138.4, 137.9, 133.4, 132.2, 130.9, 129.1, 128.7, 127.1, 126.2, 121.6, 118.2, 80.6, 60.7, 44.9, 21.1, 19.8. HRMS (ESI) m/z calcd for $C_{27}H_{21}N_3O_2S$, $[M + Na]^+$: 474.1247, Found: 474.1239.



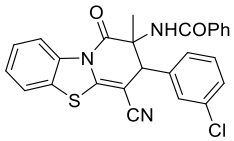
3i was isolated as a white solid (43.4 mg, 93% yield); mp 177 – 178 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.3 Hz, 1H), 7.75 (d, *J* = 7.5 Hz, 2H), 7.55 (t, *J* = 7.5 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 7.6 Hz, 1H), 7.31 (t, *J* = 7.8 Hz, 1H), 7.29 – 7.23 (m, 1H), 7.19 (d, *J* = 8.1 Hz, 2H), 6.89 (d, *J* = 8.5 Hz, 2H), 6.00 (s, 1H), 5.19 (s, 1H), 3.81 (s, 3H), 1.42 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 167.3, 159.6, 153.4, 137.9, 133.4, 132.2, 131.4, 128.8, 127.1, 126.2, 125.8, 124.0, 121.7, 118.2, 113.7, 80.7, 60.8, 55.3, 44.6, 19.7. HRMS (ESI) m/z calcd for $C_{27}H_{21}N_3O_3S$, $[M + Na]^+$: 490.1196, Found: 490.1178.



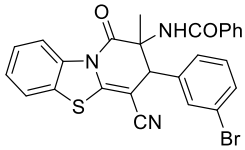
3j was isolated as a white solid (44.8 mg, 91% yield); mp 232 – 233 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.41 (d, *J* = 8.2 Hz, 1H), 7.76 (d, *J* = 7.3 Hz, 2H), 7.54 (t, *J* = 7.4 Hz, 1H), 7.44 (t, *J* = 7.7 Hz, 1H), 7.38 (d, *J* = 7.7 Hz, 2H), 7.34 (d, *J* = 8.4 Hz, 2H), 7.31 – 7.28 (m, 1H), 7.25 – 7.23 (m, 1H), 7.17 (d, *J* = 8.1 Hz, 2H), 6.18 (s, 1H), 5.13 (s, 1H), 1.41 (s, 3H), 1.30 (s, 9H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 167.3, 153.4, 151.4, 137.9, 133.5, 132.1, 130.8, 129.9, 128.7, 127.1, 126.1, 125.3, 124.0, 121.6, 118.1, 117.9, 80.6, 60.8, 45.2, 34.6, 31.3, 19.9, 14.1. HRMS (ESI) m/z calcd for $C_{30}H_{27}N_3O_2S$, $[M + H]^+$: 494.1897, Found: 494.1906.



3k was isolated as a white solid (39.1 mg, 86% yield); mp 210 – 212 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.0 Hz, 1H), 7.75 (d, *J* = 7.3 Hz, 2H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 2H), 7.40 (d, *J* = 7.6 Hz, 1H), 7.36 – 7.27 (m, 3H), 7.08 – 7.02 (m, 2H), 7.00 (d, *J* = 9.5 Hz, 1H), 6.08 (s, 1H), 5.26 (s, 1H), 1.43 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.8, 163.3, 161.6, 153.9, 137.8, 136.7, 133.2, 132.3, 129.9, 129.8, 128.7, 127.2, 126.3, 123.8, 121.7, 118.2, 117.6, 115.7, 115.6, 79.5, 60.5, 45.2, 29.7, 19.8. ^{19}F NMR (564 MHz, $CDCl_3$) δ -112.10 (s). HRMS (ESI) m/z calcd for $C_{26}H_{18}FN_3O_2S$, $[M + Na]^+$: 478.0996, Found: 478.0976.

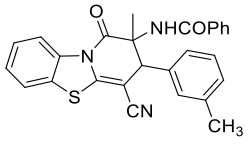


3l was isolated as a white solid (43.3 mg, 92% yield); mp 221 – 223 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 7.5 Hz, 2H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 2H), 7.41 (d, *J* = 7.5 Hz, 1H), 7.38 – 7.31 (m, 5H), 7.20 (d, *J* = 6.9 Hz, 1H), 6.03 (s, 1H), 5.25 (s, 1H), 1.42 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.8, 154.0, 137.8, 136.2, 134.3, 133.3, 132.3, 130.4, 129.6, 128.8, 127.2, 126.4, 123.9, 121.7, 118.2, 117.6, 79.4, 60.5, 45.1, 19.8. HRMS (ESI) m/z calcd for $C_{26}H_{18}ClN_3O_2S$, $[M + Na]^+$: 494.0700, Found: 494.0706.

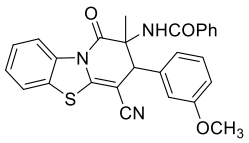


3m was isolated as a white solid (43.2 mg, 84% yield); mp 224 – 226 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 7.6 Hz, 2H), 7.75 (d, *J* = 8.3 Hz, 2H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.53– 7.49 (m, 1H), 7.47 (t, *J* = 7.7 Hz, 2H), 7.41 –7.36 (m, 2H), 7.34 – 7.26 (m, 4H), 6.01 (s,

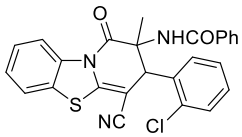
1H), 5.25 (s, 1H), 1.42 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.8, 154.1, 137.8, 136.5, 133.3, 132.3, 131.7, 129.8, 128.8, 127.2, 126.4, 123.9, 122.5, 121.7, 118.2, 117.6, 79.4, 60.5, 44.9, 19.8. HRMS (ESI) m/z calcd for $C_{26}H_{18}BrN_3O_2S$, $[M]^+$: 514.0219, Found: 514.0210.



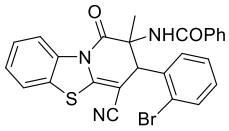
3n was isolated as a white solid (40.6 mg, 90% yield); mp 223 – 224 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.44 (d, *J* = 8.3 Hz, 1H), 7.74 (d, *J* = 7.1 Hz, 2H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 7.7 Hz, 1H), 7.31 (t, *J* = 8.0 Hz, 1H), 7.27– 7.26 (m, 1H), 7.25 (d, *J* = 7.4 Hz, 1H), 7.17 (d, *J* = 7.6 Hz, 1H), 7.09 (d, *J* = 7.4 Hz, 1H), 7.05 (s, 1H), 5.97 (s, 1H), 5.18 (s, 1H), 2.31 (s, 3H), 1.43 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.1, 167.4, 153.4, 138.0, 133.9, 132.2, 131.1, 129.3, 128.7, 127.3, 126.2, 124.0, 121.7, 118.2, 117.8, 80.5, 60.6, 45.3, 21.4, 19.8. HRMS (ESI) m/z calcd for $C_{27}H_{21}N_3O_2S$, $[M + Na]^+$: 474.1247, Found: 474.1253.



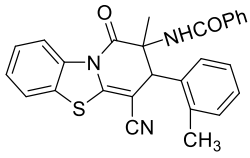
3o was isolated as a white solid (43.0 mg, 92% yield); mp 198 – 199 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 7.9 Hz, 1H), 7.75 (d, *J* = 7.3 Hz, 2H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 7.7 Hz, 1H), 7.33 – 7.26 (m, 3H), 6.90 – 6.86 (m, 2H), 6.77 (s, 1H), 6.09 (d, *J* = 2.6 Hz, 1H), 5.19 (s, 1H), 3.68 (s, 3H), 1.44 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.1, 167.3, 159.4, 153.6, 137.8, 135.5, 133.4, 132.2, 129.3, 128.7, 127.1, 126.2, 123.9, 122.6, 121.7, 118.2, 117.8, 115.9, 114.2, 80.3, 60.6, 55.2, 45.4, 19.9. HRMS (ESI) m/z calcd for $C_{27}H_{21}N_3O_3S$, $[M + Na]^+$: 490.1196, Found: 490.1202.



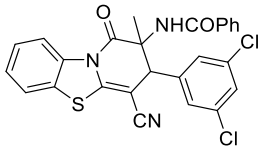
3p was isolated as a white solid (38.2 mg, 81% yield); mp 234 – 235 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.41 (d, *J* = 8.2 Hz, 1H), 7.75 (d, *J* = 7.2 Hz, 2H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.48 – 7.42 (m, 3H), 7.39 (d, *J* = 7.9 Hz, 2H), 7.37 – 7.27 (m, 4H), 6.20 (s, 1H), 5.64 (s, 1H), 1.50 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.6, 154.1, 137.8, 135.9, 133.5, 132.5, 131.8, 130.4, 129.8, 128.6, 127.3, 126.7, 123.8, 121.6, 118.1, 117.8, 79.7, 60.4, 42.9, 20.5. HRMS (ESI) m/z calcd for $C_{26}H_{18}ClN_3O_2S$, $[M + Na]^+$: 494.0700, Found: 494.0706.



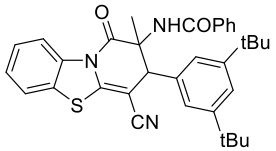
3q was isolated as a white solid (38.1 mg, 74% yield); mp 225 – 226 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.40 (d, *J* = 8.3 Hz, 1H), 7.76 (d, *J* = 7.2 Hz, 2H), 7.61 – 7.58 (m, 1H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.43 (dd, *J* = 10.9, 4.6 Hz, 3H), 7.39 (t, *J* = 7.0 Hz, 2H), 7.35 – 7.30 (m, 1H), 7.28 (d, *J* = 0.8 Hz, 1H), 7.23 – 7.19 (m, 1H), 6.28 (s, 1H), 5.53 (s, 1H), 1.52 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.7, 153.9, 137.8, 134.4, 133.8, 132.1, 131.7, 130.2, 128.6, 127.4, 126.4, 123.8, 121.6, 117.9, 79.7, 60.4, 46.1, 20.7. HRMS (ESI) m/z calcd for $C_{26}H_{18}BrN_3O_2S$, $[M]^+$: 514.0219, Found: 514.0217.



3r was isolated as a white solid (34.3 mg, 76% yield); mp 194 – 196 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.2 Hz, 1H), 7.74 (d, *J* = 7.1 Hz, 2H), 7.56 – 7.52 (m, 1H), 7.44 (t, *J* = 7.8 Hz, 2H), 7.39 – 7.36 (m, 2H), 7.31 (t, *J* = 7.9 Hz, 1H), 7.27 (d, *J* = 1.2 Hz, 1H), 7.25 – 7.22 (m, 2H), 7.16 (d, *J* = 7.0 Hz, 1H), 6.17 (s, 1H), 5.39 (s, 1H), 2.22 (s, 3H), 1.54 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 153.2, 138.3, 137.8, 133.2, 132.8, 131.2, 130.5, 128.7, 127.1, 126.1, 125.7, 123.9, 121.6, 118.1, 118.0, 81.5, 61.0, 41.9, 20.7, 19.9. HRMS (ESI) m/z calcd for $C_{27}H_{21}N_3O_2S$, $[M + Na]^+$: 474.1247, Found: 474.1227.

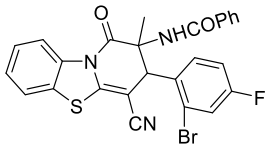


3s was isolated as a white solid (35.9 mg, 71% yield); mp 233 – 234 ℃.^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.2 Hz, 1H), 7.74 (d, *J* = 7.4 Hz, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.47 (t, *J* = 7.7 Hz, 2H), 7.42 – 7.36 (m, 2H), 7.35 – 7.27 (m, 2H), 7.19 (s, 2H), 6.06 (s, 1H), 5.27 (s, 1H), 1.42 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 167.5, 154.5, 137.7, 135.1, 133.2, 132.5, 128.9, 128.6, 127.2, 127.0, 126.5, 123.8, 121.7, 118.2, 117.3, 78.5, 60.4, 44.8, 19.8. HRMS (ESI) m/z calcd for $C_{26}H_{17}Cl_2N_3O_2S$, $[M]^+$: 504.0335, Found: 504.0331.

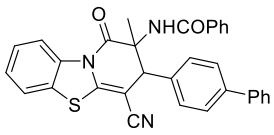


3t was isolated as a white solid (37.3 mg, 68% yield); mp 205 – 206 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.46 (d, *J* = 8.2 Hz, 1H), 7.78 (d, *J* = 7.3 Hz, 1H), 7.53 (t, *J* = 7.4 Hz, 1H), 7.45 – 7.38 (m, 3H), 7.35 – 7.26 (m, 3H), 7.04 (s, 1H), 5.95 (s, 1H), 5.27 (s, 1H), 1.44 (s, 3H),

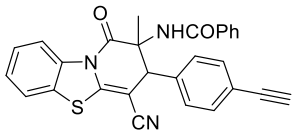
1.22 (s, 18H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.3, 166.9, 153.3, 150.4, 137.9, 133.2, 132.7, 132.2, 128.6, 127.2, 126.2, 124.9, 124.1, 122.1, 121.6, 118.3, 117.9, 81.2, 60.7, 45.2, 34.7, 31.3, 19.6. HRMS (ESI) m/z calcd for $C_{34}H_{35}N_3O_2S$, $[M + Na]^+$: 572.2342, Found: 572.2359.



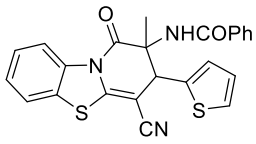
3u was isolated as a white solid (42.1 mg, 79% yield); mp 220 – 222 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.40 (d, *J* = 8.3 Hz, 1H), 7.76 (d, *J* = 7.2 Hz, 2H), 7.54 (t, *J* = 7.4 Hz, 1H), 7.46 – 7.41 (m, 3H), 7.40 – 7.37 (m, 1H), 7.35 – 7.30 (m, 2H), 7.27 (d, *J* = 7.6 Hz, 1H), 7.13 (t, *J* = 8.7 Hz, 1H), 6.23 (s, 1H), 5.54 (s, 1H), 1.50 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.7, 162.8, 161.1, 154.1, 137.7, 133.3, 132.7, 130.5, 128.7, 127.3, 126.6, 126.2, 123.7, 121.6, 120.8, 118.0, 117.7, 114.8, 79.5, 60.4, 45.3, 20.6. ^{19}F NMR (564 MHz, $CDCl_3$) δ -110.44 (s). HRMS (ESI) m/z calcd for $C_{26}H_{17}BrFN_3O_2S$, $[M]^+$: 532.0125, Found: 532.0120.



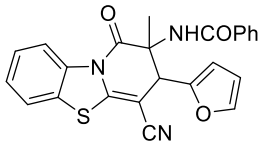
3v was isolated as a white solid (48.2 mg, 94% yield); mp 238 – 239 °C. ^{1}H NMR (600 MHz, DMSO-*d*$_6$) δ 8.81 (s, 1H), 8.21 (d, *J* = 8.1 Hz, 1H), 7.83 – 7.80 (m, 2H), 7.78 – 7.74 (m, 1H), 7.71 – 7.65 (m, 4H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.48 (dt, *J* = 17.2, 7.8 Hz, 4H), 7.43 – 7.32 (m, 3H), 7.30 (d, *J* = 8.2 Hz, 2H), 4.94 (s, 1H), 1.37 (s, 3H). ^{13}C NMR (150 MHz, DMSO-*d*$_6$) δ 170.8, 168.8, 167.3, 153.8, 140.5, 139.8, 137.9, 134.6, 132.2, 130.9, 129.4, 128.8, 127.6, 126.5, 123.9, 118.8, 117.1, 79.6, 60.3, 46.7, 21.2, 19.7, 14.5. HRMS (ESI) m/z calcd for $C_{32}H_{23}N_3O_2S$, $[M + Na]^+$: 536.1403, Found: 536.1415.



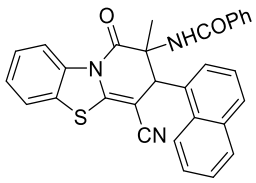
3w was isolated as a white solid (40.1 mg, 87% yield); mp 221 – 222 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.3 Hz, 1H), 7.74 (d, *J* = 7.8 Hz, 2H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.51 – 7.44 (m, 4H), 7.40 (d, *J* = 7.7 Hz, 1H), 7.32 (t, *J* = 8.0, 1.4 Hz, 1H), 7.28 (d, *J* = 7.6 Hz, 1H), 7.24 (s, 2H), 6.00 (s, 1H), 5.29 (s, 1H), 3.12 (s, 1H), 1.41 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 167.4, 153.9, 137.8, 134.9, 133.2, 132.4, 130.4, 128.8, 127.1, 126.4, 123.9, 122.5, 121.7, 118.2, 117.7, 82.9, 79.6, 78.3, 60.6, 45.1, 19.7. HRMS (ESI) m/z calcd for $C_{28}H_{19}N_3O_2S$, $[M + Na]^+$: 484.1090, Found: 484.1069.



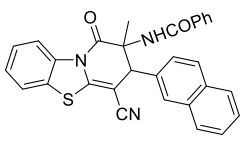
3x was isolated as a brown solid (32.8 mg, 74% yield); mp 219 – 220 ℃; -94% ee, the ee was determined by HPLC analysis [Daicel IA, *n*-hexane/*i*-PrOH = 80/20, 1.0 mL/min, λ = 254 nm, t (major) = 23.77 min, t (minor) = 29.31 min]. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.2 Hz, 1H), 7.79 (d, *J* = 7.6 Hz, 1H), 7.56 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.6 Hz, 1H), 7.40 (d, *J* = 7.7 Hz, 1H), 7.30 (dt, *J* = 12.9, 7.7 Hz, 1H), 7.14 (d, *J* = 3.1 Hz, 1H), 7.07 – 7.04 (m, 1H), 6.17 (s, 1H), 5.53 (s, 1H), 1.50 (s, 1H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.0, 167.3, 153.8, 137.8, 135.7, 133.3, 132.3, 128.8, 127.2, 127.0, 126.4, 125.8, 123.9, 121.7, 118.3, 117.7, 80.3, 60.6, 41.0, 19.7. HRMS (ESI) m/z calcd for $C_{24}H_{17}N_3O_2S_2$, $[M + Na]^+$: 466.0654, Found: 466.0633.



3y was isolated as a brown solid (33.3 mg, 78% yield); mp 203 – 204 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.41 (d, *J* = 8.0 Hz, 1H), 7.77 (d, *J* = 7.3 Hz, 2H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 3H), 7.39 (d, *J* = 7.0 Hz, 1H), 7.32 – 7.29 (m, 1H), 6.42 – 6.34 (m, 2H), 6.20 (s, 1H), 5.34 (s, 1H), 1.53 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.8, 153.3, 148.0, 143.3, 137.8, 133.3, 132.2, 128.7, 127.1, 125.9, 123.9, 123.2, 121.7, 118.2, 117.4, 113.7, 111.3, 110.6, 78.5, 60.5, 40.3, 20.1. HRMS (ESI) m/z calcd for $C_{24}H_{17}N_3O_3S$, $[M + Na]^+$: 450.0883, Found: 450.0887.

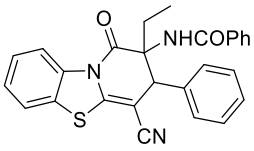


3z was isolated as a white solid (39.0 mg, 80% yield); mp 251 – 253 ℃. ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.88 (s, 1H), 8.16 (dd, *J* = 8.2, 5.3 Hz, 2H), 7.96 (t, *J* = 8.0 Hz, 2H), 7.76 (d, *J* = 7.7 Hz, 1H), 7.70 (d, *J* = 7.3 Hz, 2H), 7.59 – 7.49 (m, 4H), 7.43 (dt, *J* = 23.8, 7.6 Hz, 4H), 7.33 (t, *J* = 7.6 Hz, 1H), 5.81 (s, 1H), 1.29 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 168.1, 167.7, 153.8, 137.9, 133.9, 132.8, 129.3, 128.7, 127.9, 126.9, 125.7, 123.9, 118.9, 116.8, 80.4, 60.7, 41.9, 20.1. HRMS (ESI) m/z calcd for $C_{30}H_{21}N_3O_2S$, $[M + Na]^+$: 510.1247, Found: 510.1251.

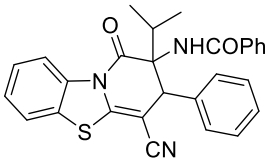


3aa was isolated as a white solid (40.4 mg, 83% yield); mp 243 – 245 ℃; -52% ee, the ee was determined by HPLC analysis [Daicel IA, *n*-hexane/*i*-PrOH = 80/20, 1.0 mL/min,

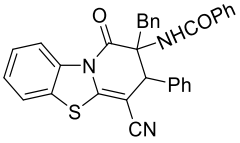
λ = 254 nm, t (major) = 31.38 min, t (minor) = 36.27 min]. ^{1}H NMR (600 MHz, DMSO-d_6) δ 8.77 (s, 1H), 8.23 (d, *J* = 8.1 Hz, 1H), 7.91 (dd, *J* = 22.0, 7.7 Hz, 3H), 7.80 – 7.76 (m, 4H), 7.58 – 7.47 (m, 5H), 7.41 (t, *J* = 7.4 Hz, 1H), 7.35 (d, *J* = 7.5 Hz, 2H), 5.08 (s, 1H), 1.36 (s, 3H). ^{13}C NMR (150 MHz, DMSO-d_6) δ 168.8, 167.3, 153.8, 138.0, 134.1, 133.0, 132.2, 129.5, 128.8, 127.6, 126.9, 124.0, 123.3, 118.8, 117.1, 79.7, 60.5, 46.8, 19.7. HRMS (ESI) m/z calcd for $C_{30}H_{21}N_3O_2S$, $[M + Na]^+$: 510.1247, Found: 510.1252.



3ab was isolated as a white solid (38.8 mg, 86% yield); mp 197 – 198 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 7.6 Hz, 1H), 7.74 (d, *J* = 7.1 Hz, 1H), 7.55 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.39 (d, *J* = 8.7 Hz, 1H), 7.35 – 7.34 (m, 3H), 7.28 – 7.27 (m, 3H), 7.26 – 7.22 (m, 1H), 5.94 (s, 1H), 5.29 (s, 1H), 2.26 (td, *J* = 15.1, 7.6 Hz, 1H), 1.57 (td, *J* = 15.0, 7.5 Hz, 1H), 0.91 (t, *J* = 7.6 Hz, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.6, 165.9, 153.4, 137.8, 134.1, 133.8, 132.1, 130.2, 128.7, 127.1, 127.0, 126.1, 123.9, 121.6, 117.9, 80.1, 63.4, 46.0, 29.6, 24.0, 7.6. HRMS (ESI) m/z calcd for $C_{27}H_{21}N_3O_2S$, $[M + Na]^+$: 474.1247, Found: 474.1254.

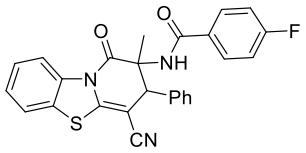


3ac was isolated as a white solid (33.0 mg, 71% yield); mp 179 – 181 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.3 Hz, 1H), 7.74 (d, *J* = 7.4 Hz, 2H), 7.56 – 7.53 (m, 1H), 7.46 (t, *J* = 7.7 Hz, 2H), 7.38 – 7.28 (m, 7H), 7.24 (d, *J* = 7.6 Hz, 1H), 6.25 (s, 1H), 4.92 (s, 1H), 2.16 – 2.12 (m, 1H), 1.25 (d, *J* = 6.6 Hz, 3H), 0.95 (d, *J* = 6.7 Hz, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 177.7, 167.1, 165.8, 162.7, 161.7, 153.6, 146.9, 137.7, 134.9, 133.6, 132.7, 130.3, 129.6, 128.8, 127.9, 126.9, 125.9, 123.9, 121.6, 118.1, 117.8, 116.4, 105.6, 80.3, 70.6, 64.9, 47.7, 31.8, 29.7, 18.7, 17.5. HRMS (ESI) m/z calcd for $C_{28}H_{23}N_3O_2S$, $[M + Na]^+$: 488.1403, Found: 488.1415.

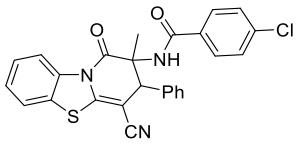


3ad was isolated as a white solid (46.7 mg, 91% yield); mp 223 – 225 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.30 (d, *J* = 8.0 Hz, 1H), 7.59 (d, *J* = 7.1 Hz, 2H), 7.51 (t, *J* = 7.5 Hz, 1H), 7.43 – 7.37 (m, 8H), 7.34 – 7.27 (m, 2H), 7.25 (d, *J* = 7.3 Hz, 1H), 7.21 (t, *J* = 7.3 Hz, 2H), 6.83 (d, *J* = 7.1 Hz, 2H), 6.09 (s, 1H), 5.37 (s, 1H), 3.61 (d, *J* = 14.5 Hz, 1H), 2.91 (d, *J* = 14.5 Hz,

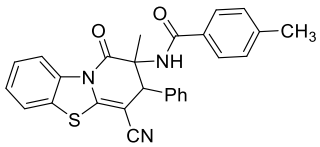
1H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 166.0, 153.3, 137.7, 134.1, 133.8, 132.1, 130.2, 128.8, 128.0, 127.2, 126.9, 126.1, 123.9, 121.8, 117.9, 80.0, 63.5, 46.4, 36.7, 29.6. HRMS (ESI) m/z calcd for $C_{32}H_{23}N_3O_2S$, $[M + Na]^+$: 536.1403, Found: 536.1413.



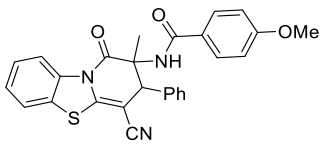
3ae was isolated as a white solid (38.2 mg, 84% yield); mp 219 – 220 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 8.1 Hz, 1H), 7.76 (dd, *J* = 8.7, 5.2 Hz, 2H), 7.40 (d, *J* = 7.7 Hz, 1H), 7.37 – 7.35 (m, 3H), 7.31 (td, *J* = 7.9, 1.3 Hz, 1H), 7.29 – 7.25 (m, 3H), 7.13 (t, *J* = 8.5 Hz, 2H), 5.96 (s, 1H), 5.21 (s, 1H), 1.43 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.1, 166.3, 166.0, 164.3, 153.6, 137.9, 134.1, 130.3, 129.6, 128.6, 127.1, 126.3, 124.0, 121.7, 118.1, 117.7, 115.9, 80.4, 60.7, 45.4, 19.8. ^{19}F NMR (564 MHz, $CDCl_3$) δ -106.69 (s). HRMS (ESI) m/z calcd for $C_{26}H_{18}FN_3O_2S$, $[M]^+$: 454.1020, Found: 454.1028.



3af was isolated as a white solid (41.9 mg, 89% yield); mp 235 – 236 ℃. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.42 (d, *J* = 9.1 Hz, 1H), 7.68 (d, *J* = 8.6 Hz, 2H), 7.43 – 7.38 (m, 3H), 7.36 (dd, *J* = 4.2, 2.0 Hz, 3H), 7.31 (td, *J* = 7.9, 1.4 Hz, 1H), 7.28 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.26 – 7.22 (m, 2H), 6.02 (s, 1H), 5.20 (s, 1H), 1.42 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 167.9, 166.3, 153.6, 138.57 137.8, 134.0, 131.8, 130.3, 129.0, 128.6, 127.1, 126.3, 124.0, 121.7, 118.1, 117.7, 80.3, 60.7, 45.4, 19.8. HRMS (ESI) m/z calcd for $C_{26}H_{18}ClN_3O_2S$, $[M + Na]^+$: 494.0700, Found: 494.0708.

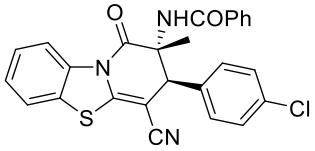


3ag was isolated as a white solid (36.1 mg, 80% yield); mp 206 – 208 ℃. ^{1}H NMR (600 MHz, cdcl$_3$) δ 8.43 (d, *J* = 8.2 Hz, 1H), 7.64 (d, *J* = 8.1 Hz, 2H), 7.39 (d, *J* = 7.8 Hz, 1H), 7.35 (dd, *J* = 3.8, 2.5 Hz, 3H), 7.31 (td, *J* = 7.9, 1.4 Hz, 1H), 7.28 – 7.23 (m, 5H), 5.99 (s, 1H), 5.22 (s, 1H), 2.41 (s, 3H), 1.41 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.2, 167.2, 153.5, 142.8, 137.9, 134.1, 130.5, 129.3, 128.5, 127.1, 126.2, 124.0, 121.6, 118.2, 117.8, 80.3, 60.5, 45.5, 21.5, 19.8. HRMS (ESI) m/z calcd for $C_{27}H_{21}N_3O_2S$, $[M + Na]^+$: 474.1247, Found: 474.1226.

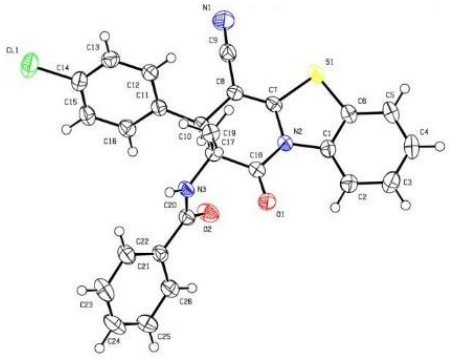


3ah was isolated as a white solid (38.8 mg, 83% yield); mp 187 – 189 °C. ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 (d, *J* = 8.3 Hz, 1H), 7.71 (d, *J* = 8.8 Hz, 2H), 7.40 – 7.37 (m, 1H), 7.35 (dd, *J* = 3.8, 2.4 Hz, 3H), 7.32 – 7.29 (m, 1H), 7.27 – 7.24 (m, 3H), 6.92 (d, *J* = 8.8 Hz, 2H), 5.97 (s, 1H), 5.21 (s, 1H), 3.86 (s, 3H), 1.41 (s, 3H). ^{13}C NMR (150 MHz, $CDCl_3$) δ 168.3, 166.7, 162.7, 153.5, 137.9, 134.2, 130.3, 129.0, 128.4, 127.0, 126.2, 125.5, 124.0, 121.6, 118.2, 117.8, 113.9, 80.3, 60.5, 55.4, 45.5, 19.8. HRMS (ESI) m/z calcd for $C_{27}H_{21}N_3O_3S$, $[M + Na]^+$: 490.1201, Found: 490.1206.

5. X-ray crystallographic analysis



≡

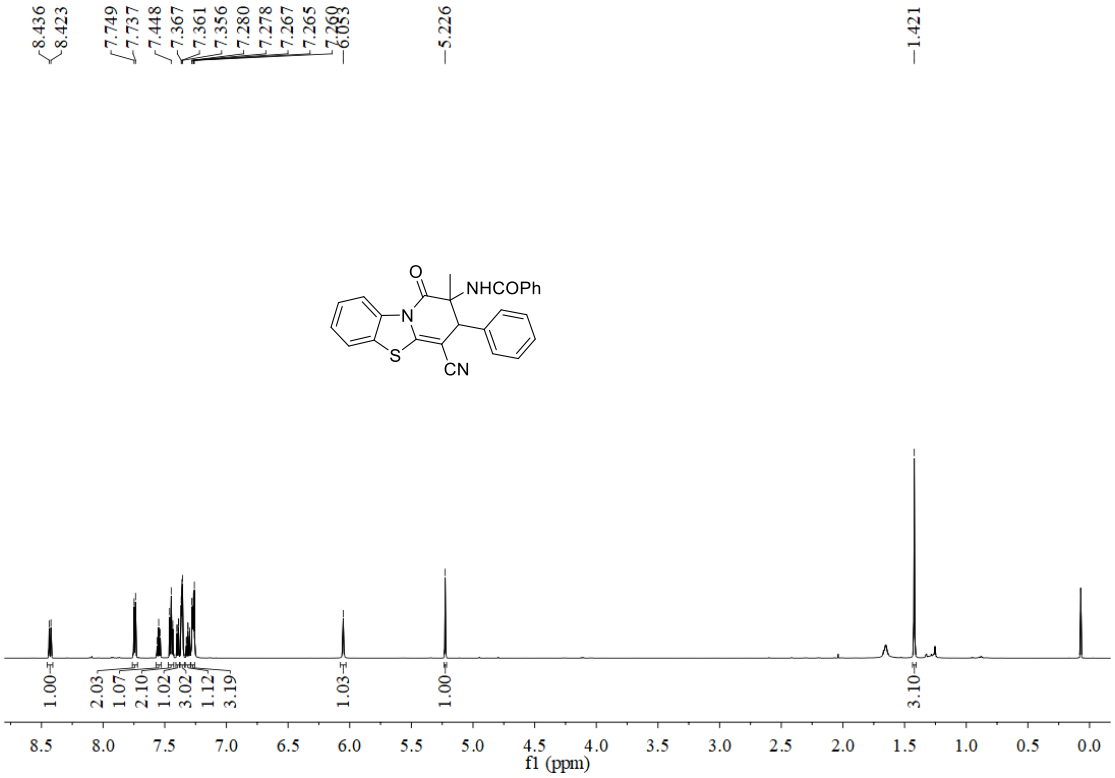


Identification code	**3c**
Empirical formula	$C_{27}H_{20}Cl_3N_3O_2S$
Formula weight	556.87
Temperature/K	293(2)
Crystal system	triclinic
Space group	P-1
a/Å	10.2432(6)
b/Å	11.1049(6)
c/Å	11.6840(6)

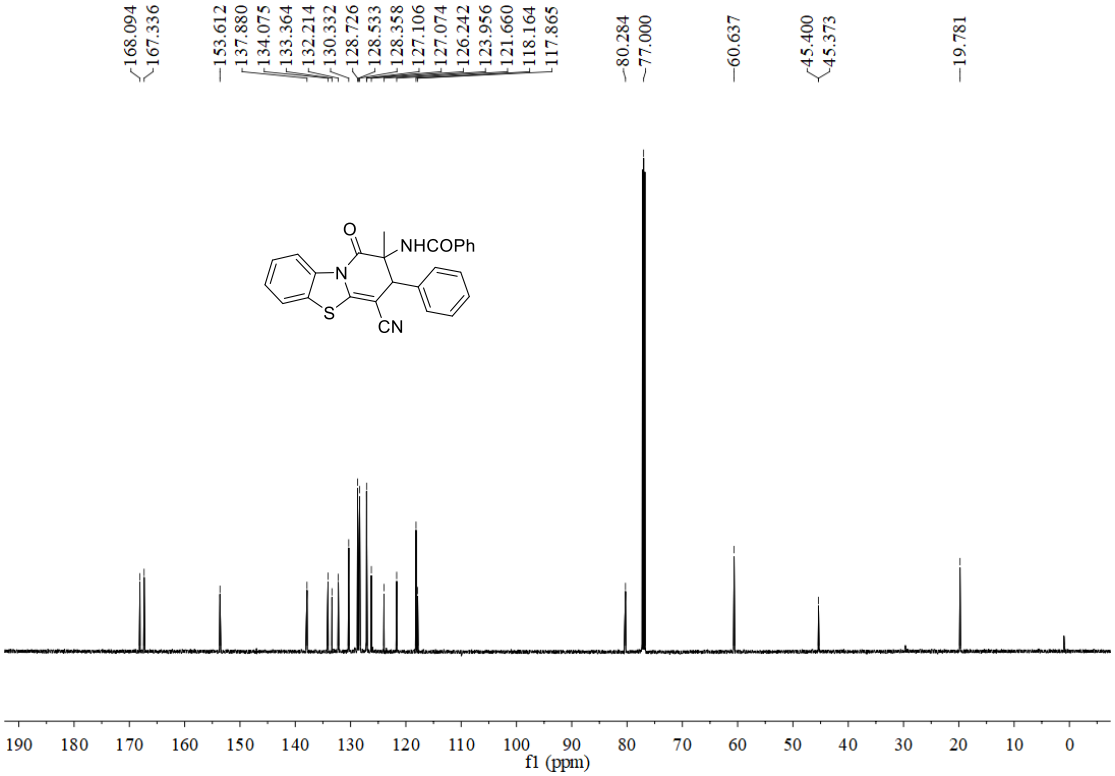
α/°	72.079(5)
β/°	78.101(5)
γ/°	83.806(5)
Volume/Å^3	1235.98(12)
Z	2
ρ_{calc}g/cm^3	1.496
μ/mm^{-1}	0.488
F(000)	572.0
Radiation	Mo Kα (λ = 0.71073)
2Θ range for data collection/°	7.054 to 58.256
Index ranges	-13 ≤ h ≤ 13, -14 ≤ k ≤ 14, -16 ≤ l ≤ 15
Reflections collected	18501
Independent reflections	5865 [R_{int} = 0.0299, R_{sigma} = 0.0368]
Data/restraints/parameters	5865/0/326
Goodness-of-fit on F^2	1.040
Final R indexes [I>=2σ (I)]	R_1 = 0.0437, wR_2 = 0.1011
Final R indexes [all data]	R_1 = 0.0642, wR_2 = 0.1145
Largest diff. peak/hole / e Å^{-3}	0.38/-0.43

6. Copies of NMR spectra and HPLC chromatogram

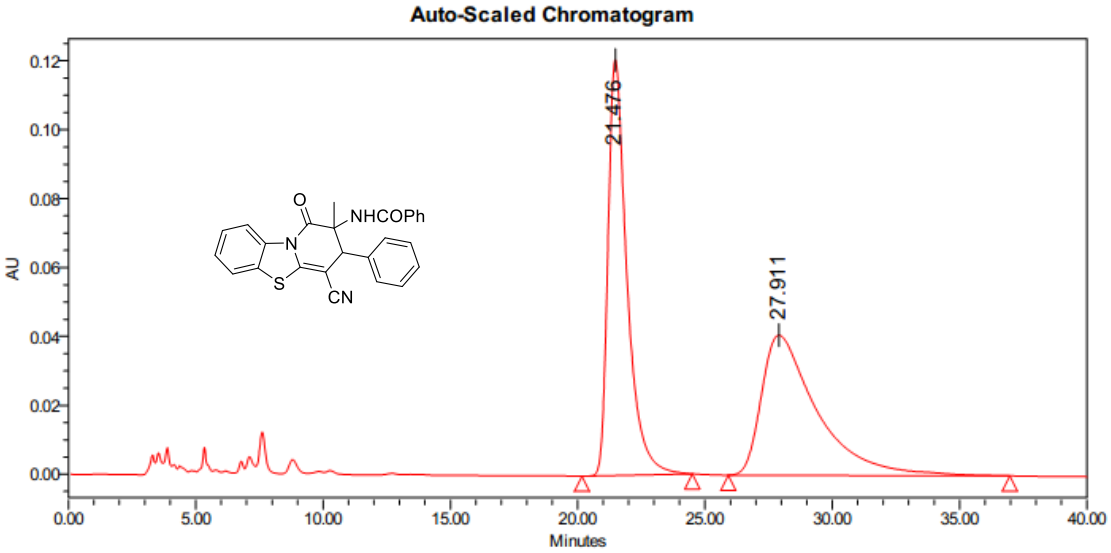
^{1}H NMR Spectrum of **3a**



^{13}C NMR Spectrum of **3a**

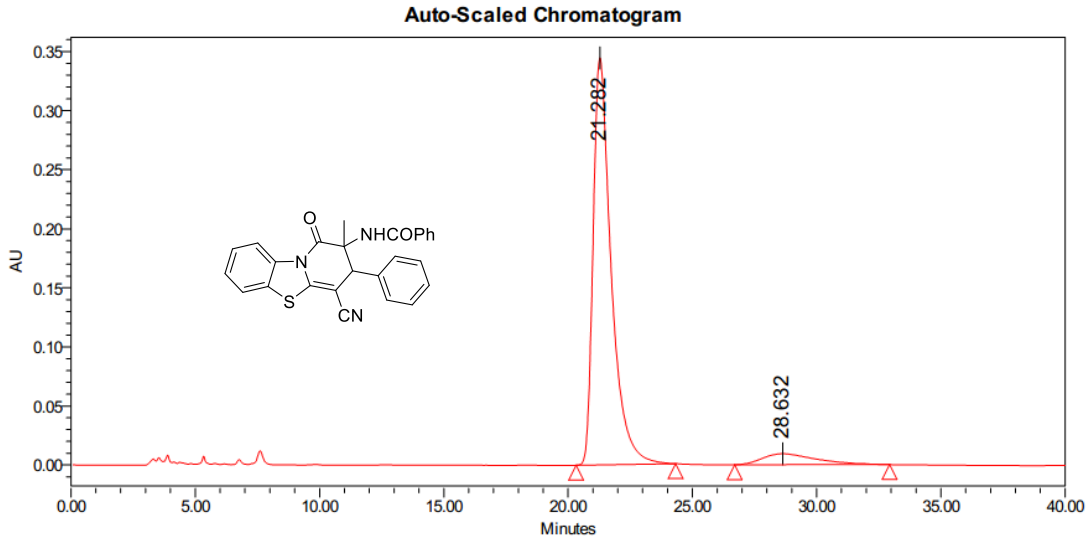


HPLC chromatogram of **3a**



Peak Results

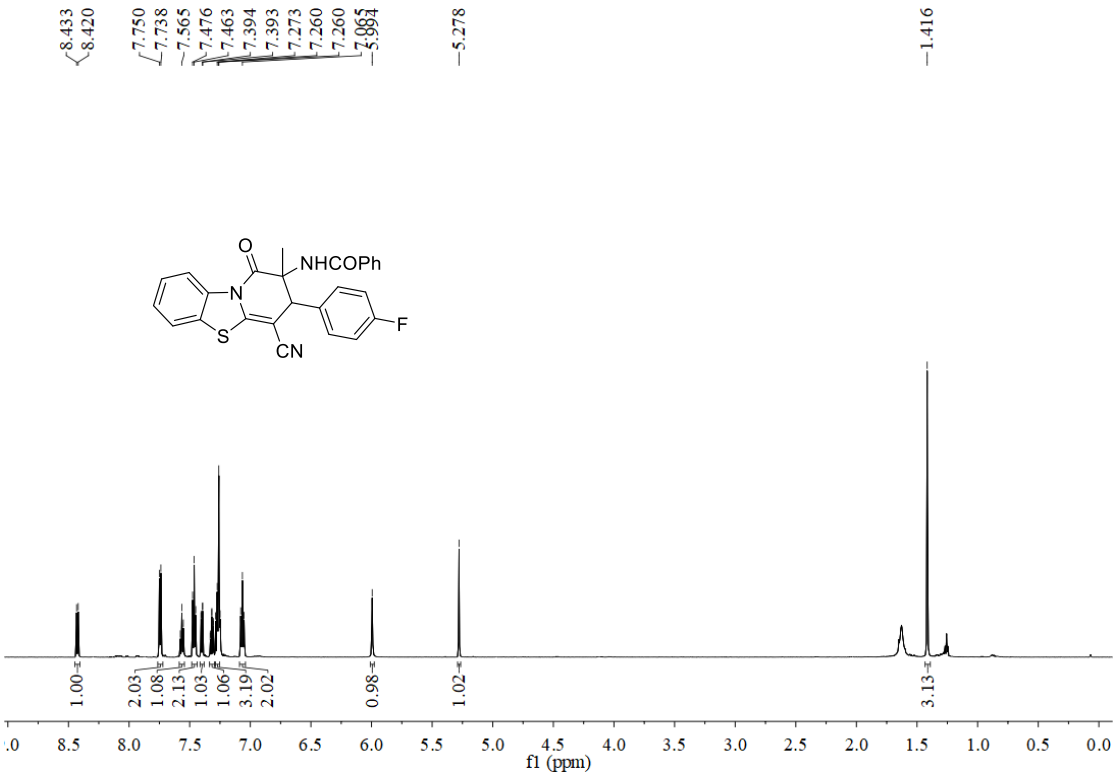
	Name	RT	Area	Height	% Area
1		21.476	6232111	120654	50.24
2		27.911	6171912	40675	49.76



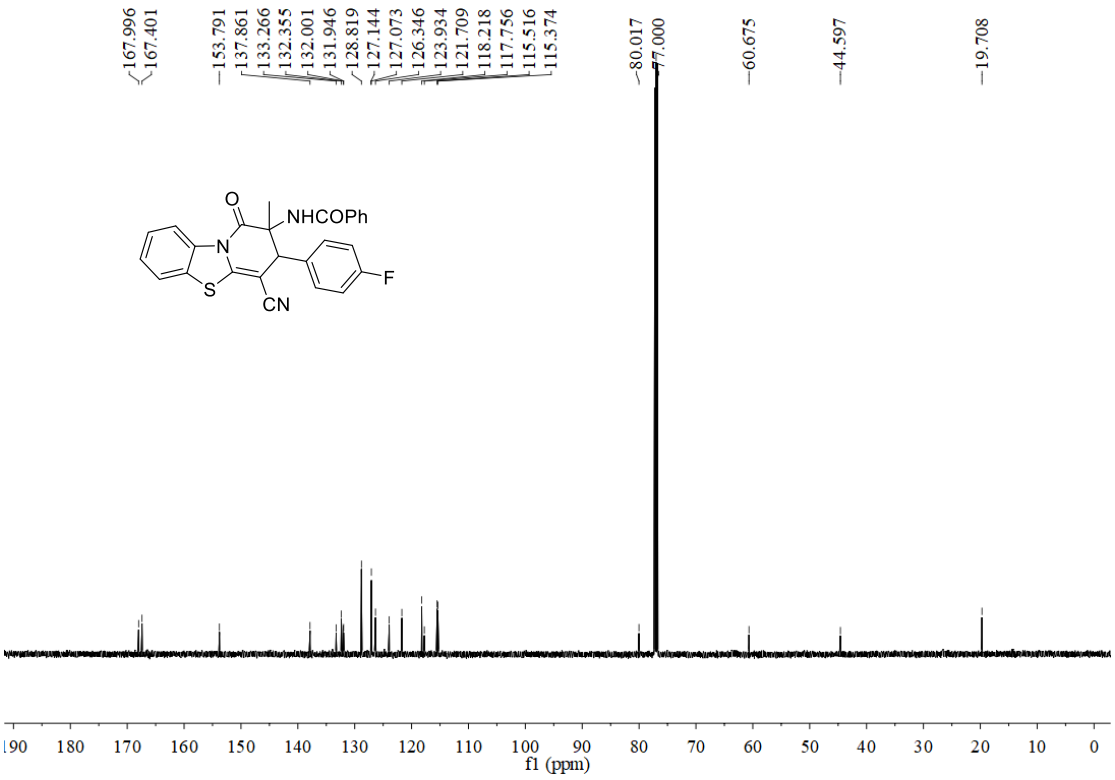
Peak Results

	Name	RT	Area	Height	% Area
1		21.282	17372290	344671	92.60
2		28.632	1387621	9206	7.40

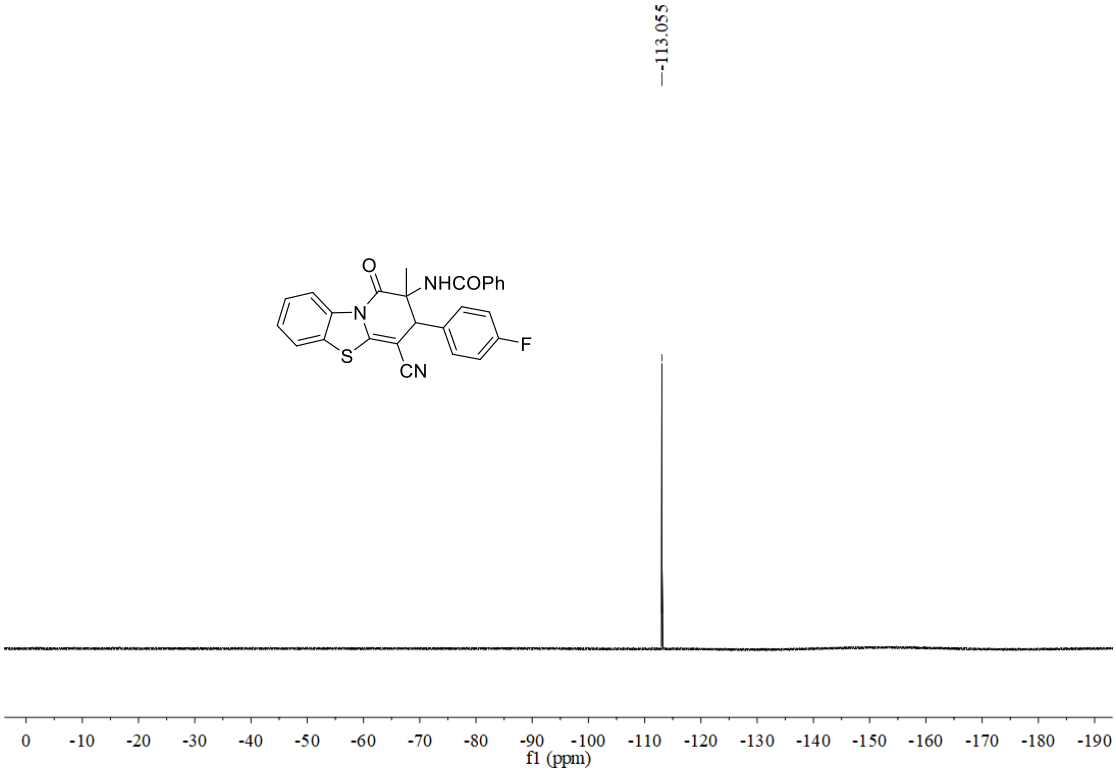
^{1}H NMR Spectrum of **3b**



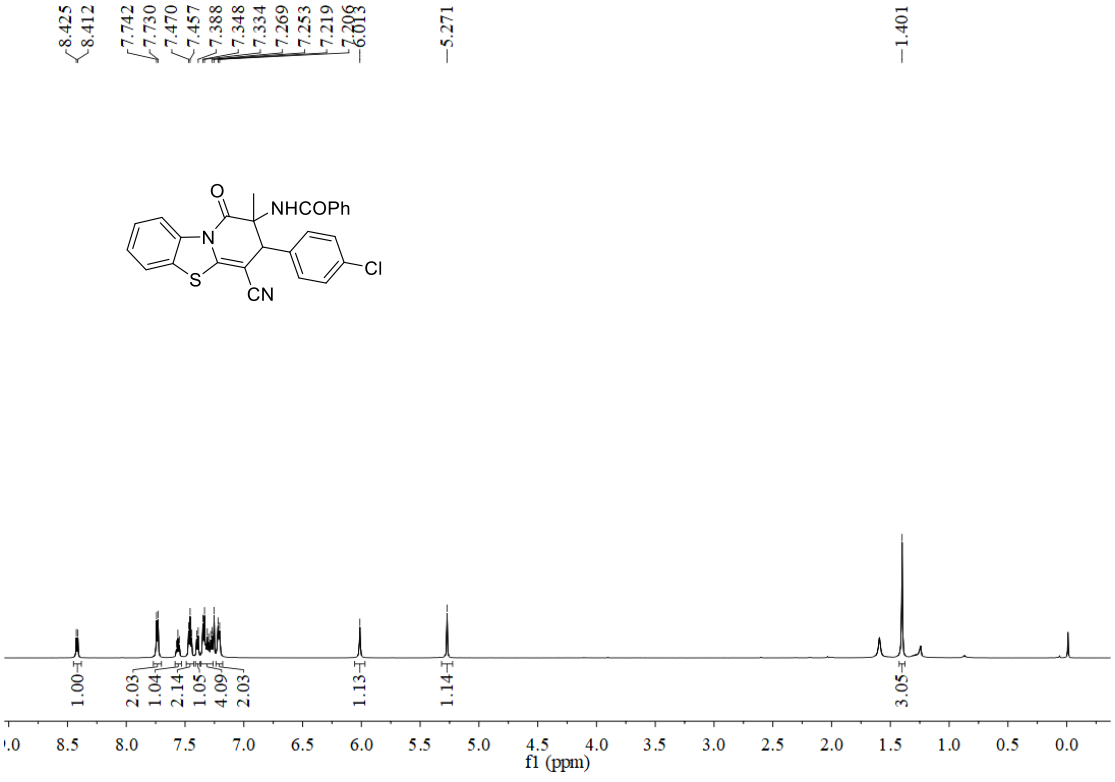
^{13}C NMR Spectrum of **3b**



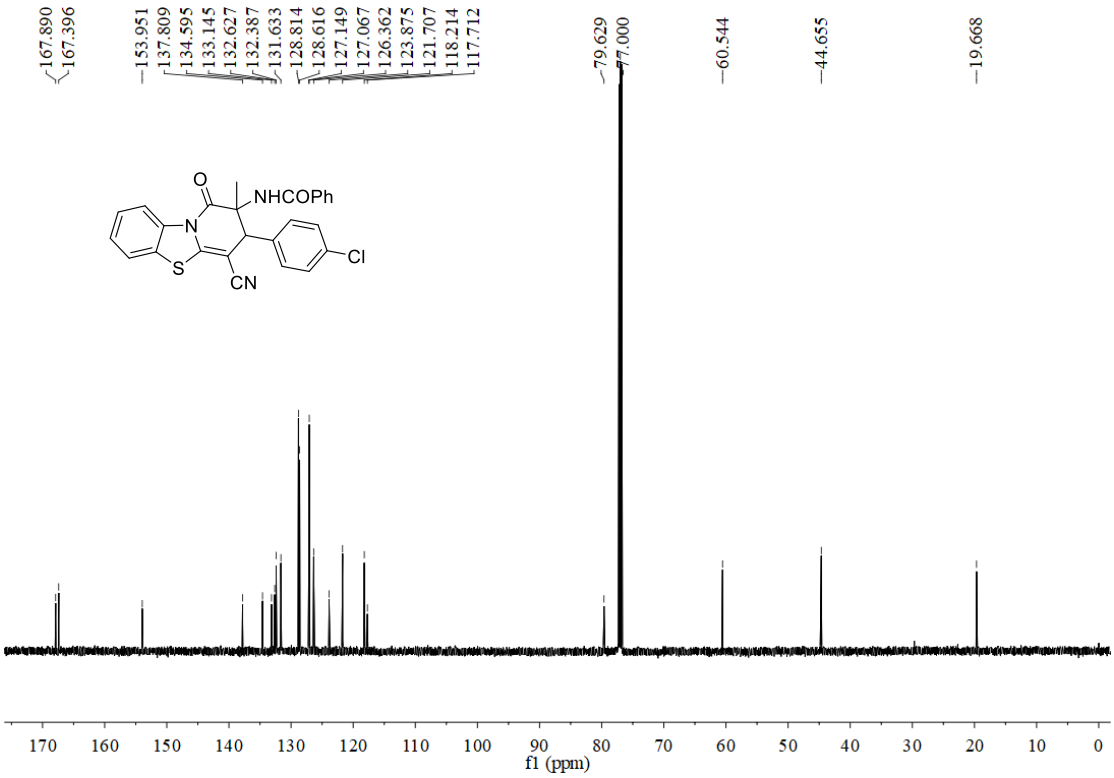
^{19}F NMR Spectrum of **3b**



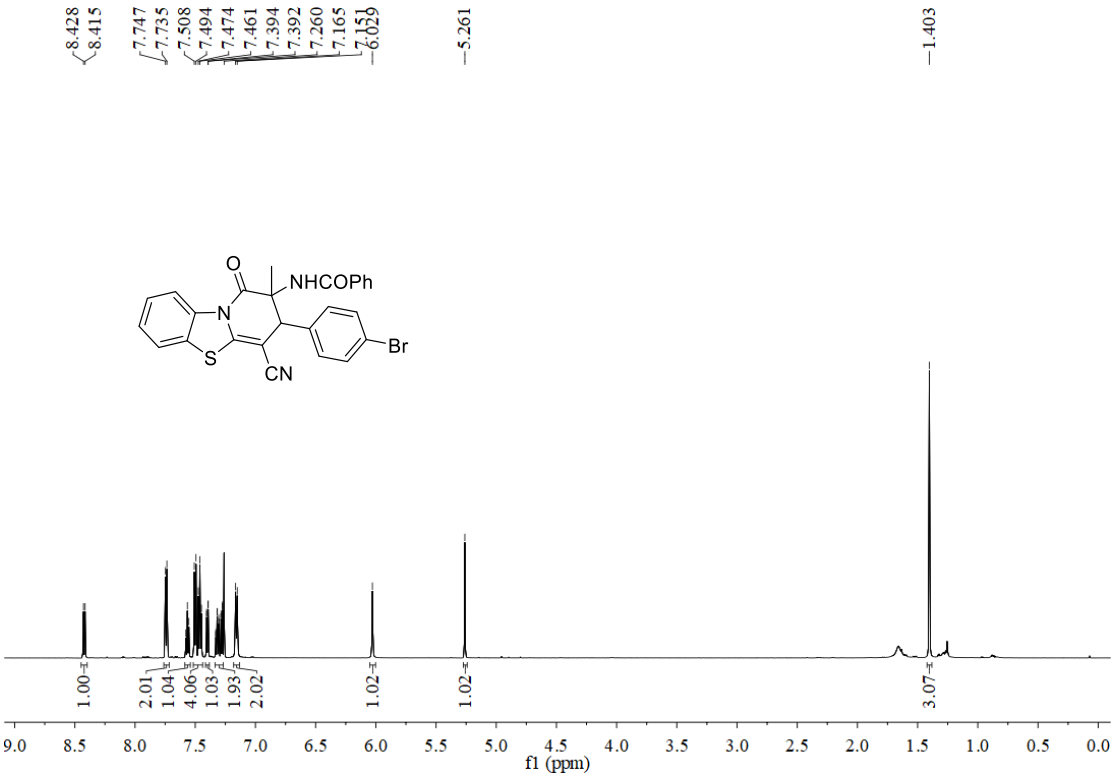
^{1}H NMR Spectrum of **3c**



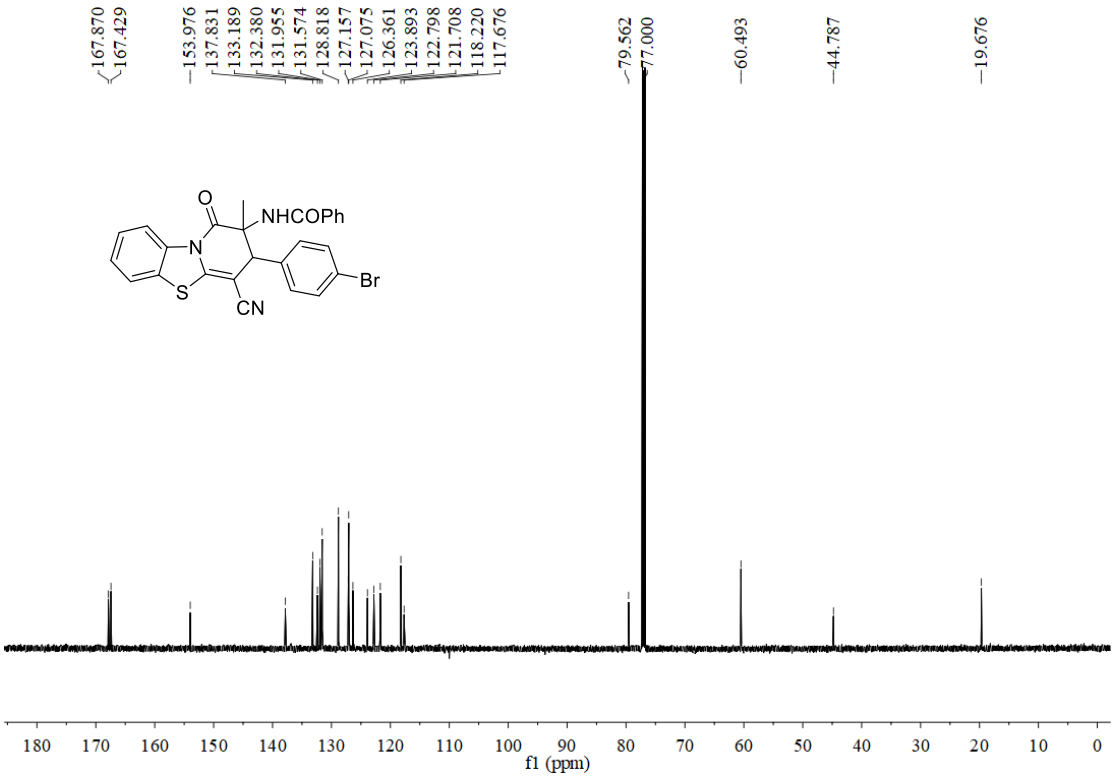
^{13}C NMR Spectrum of **3c**



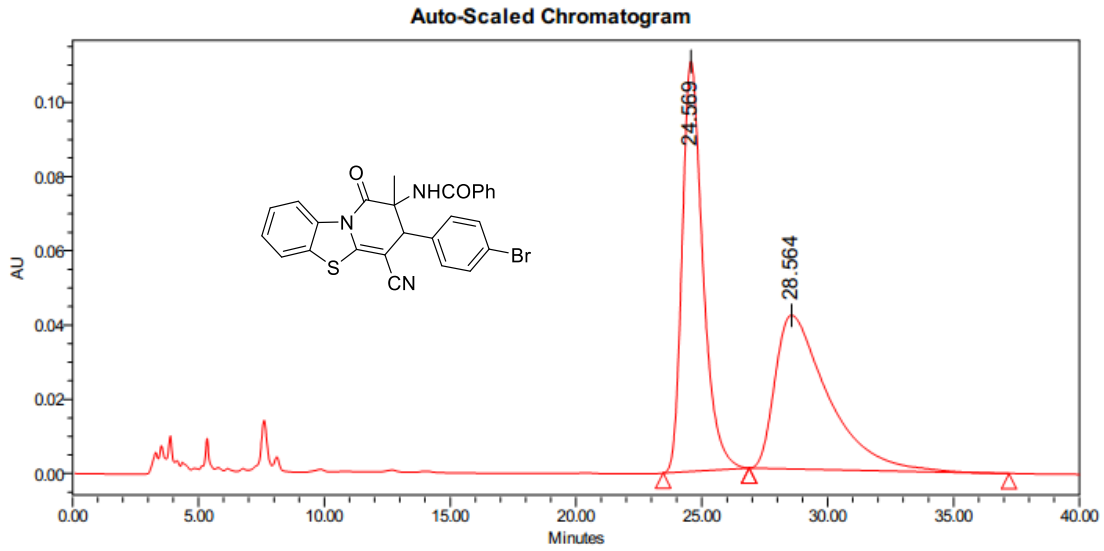
^{1}H NMR Spectrum of **3d**



^{13}C NMR Spectrum of **3d**

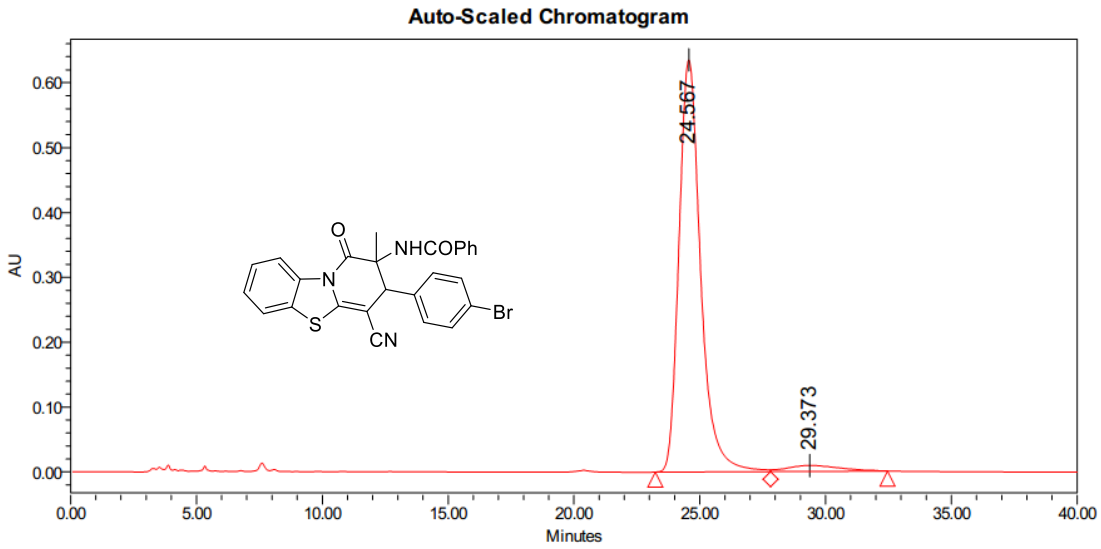


HPLC chromatogram of **3d**



Peak Results

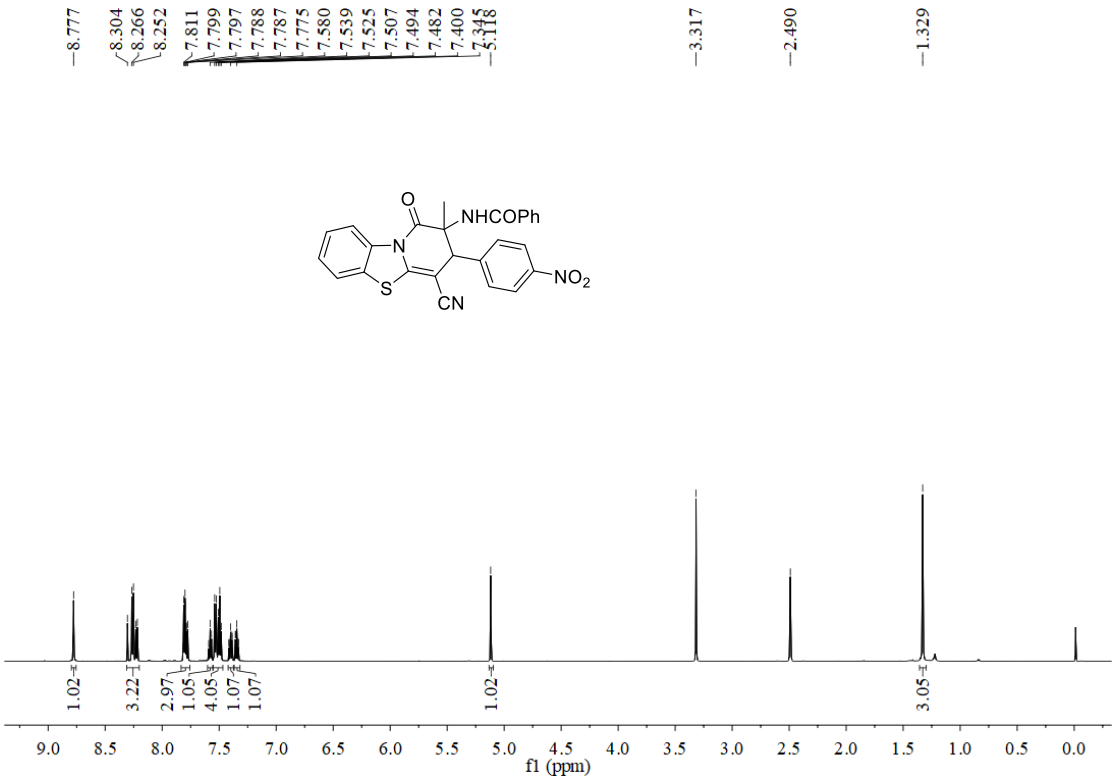
	Name	RT	Area	Height	% Area
1		24.569	6312638	110542	50.91
2		28.564	6087239	41432	49.09



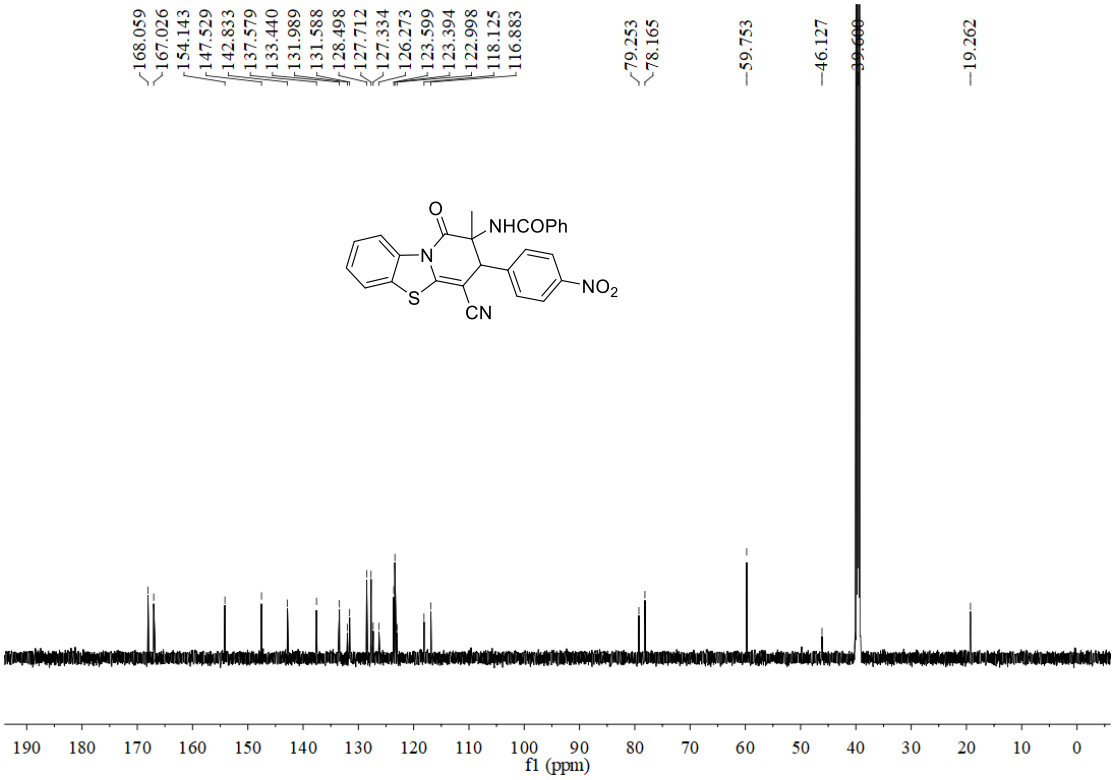
Peak Results

	Name	RT	Area	Height	% Area
1		24.567	37869347	635144	96.65
2		29.373	1314293	9090	3.35

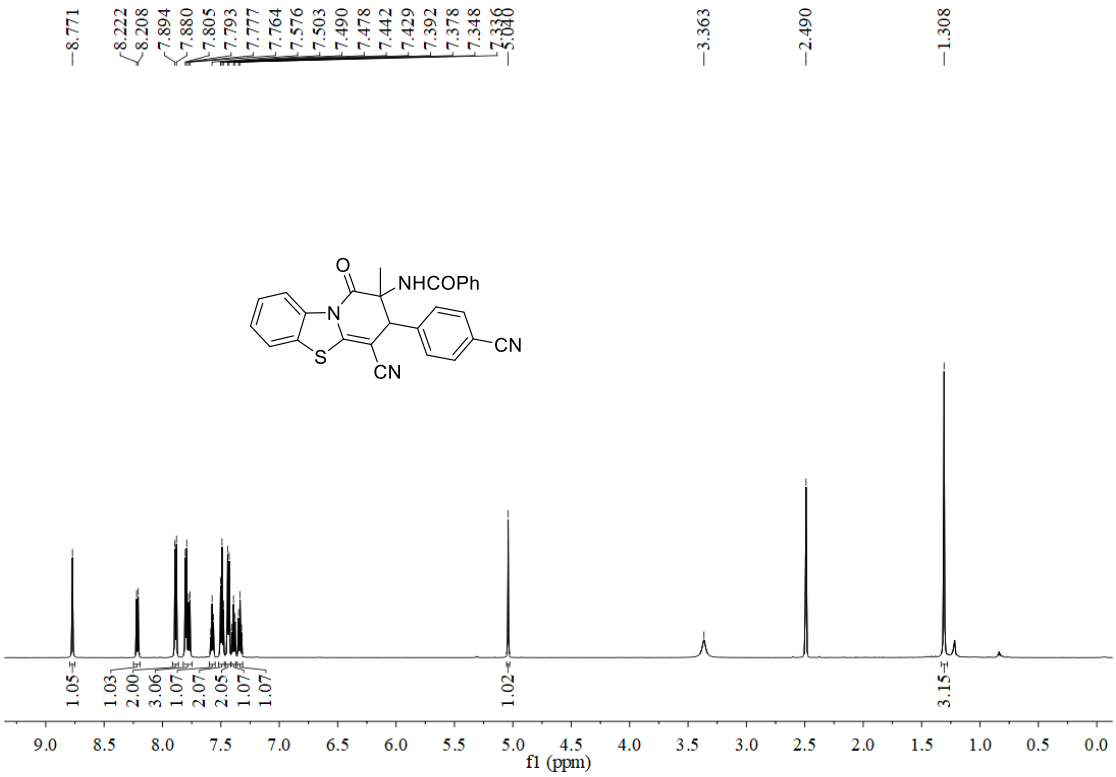
^{1}H NMR Spectrum of **3e**



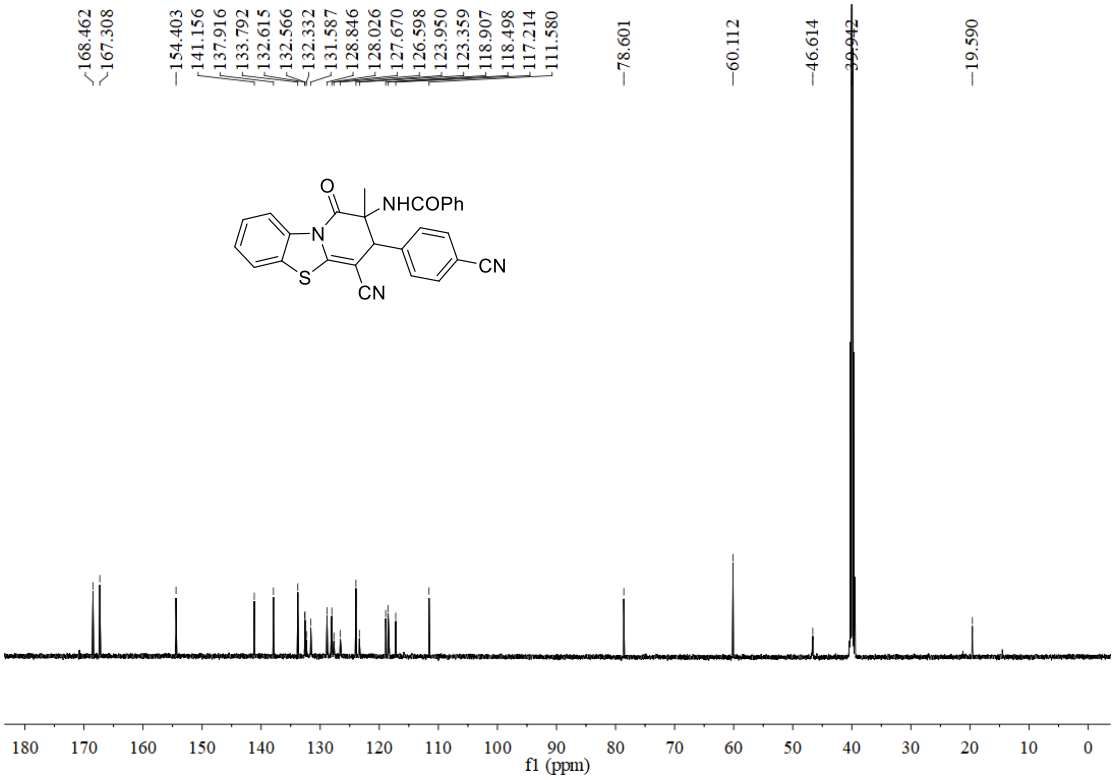
^{13}C NMR Spectrum of **3e**



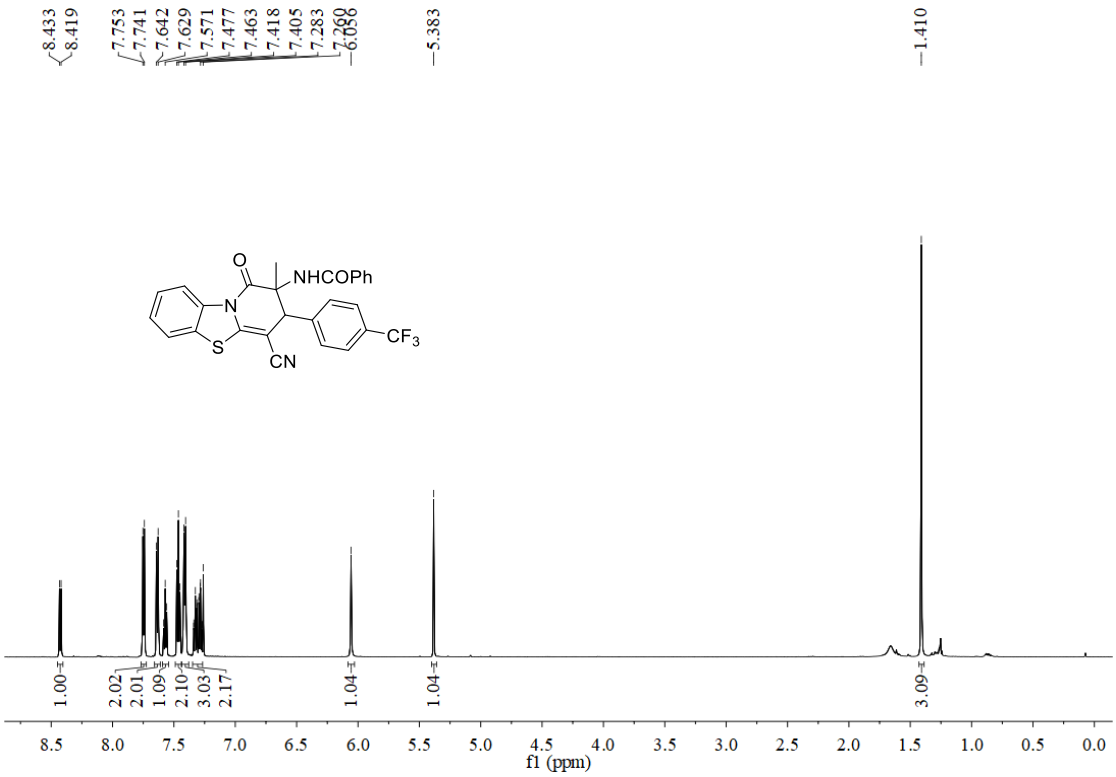
^{1}H NMR Spectrum of **3f**



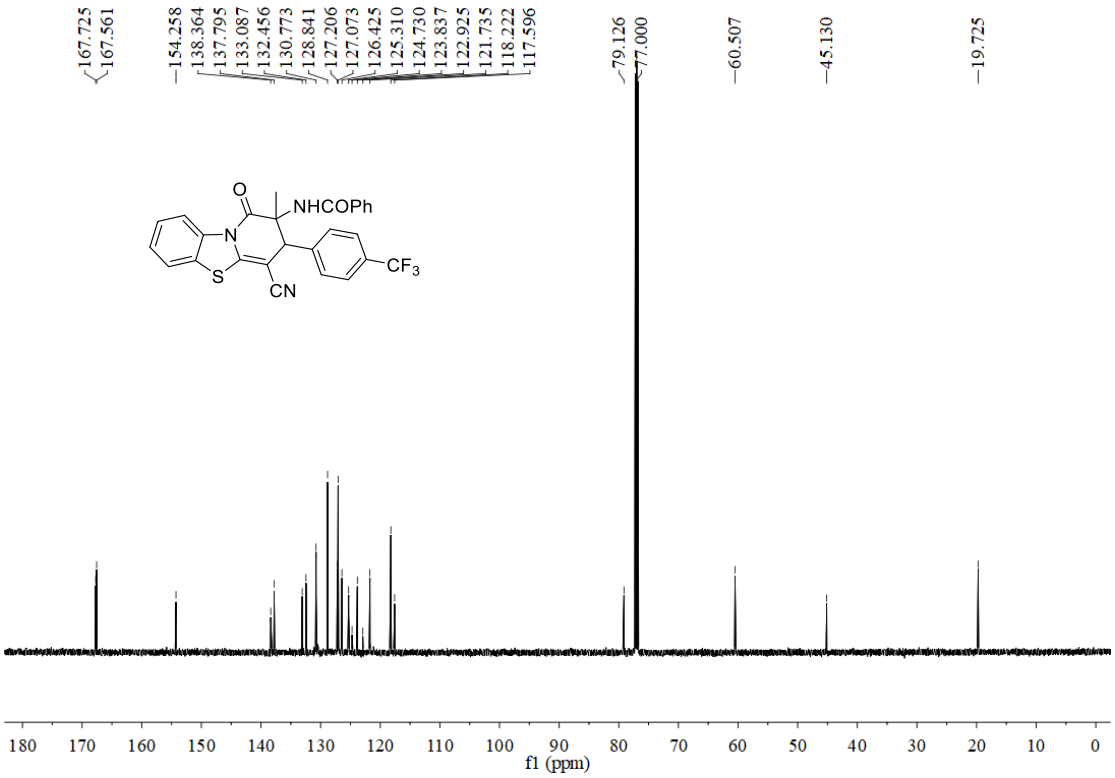
^{13}C NMR Spectrum of **3f**



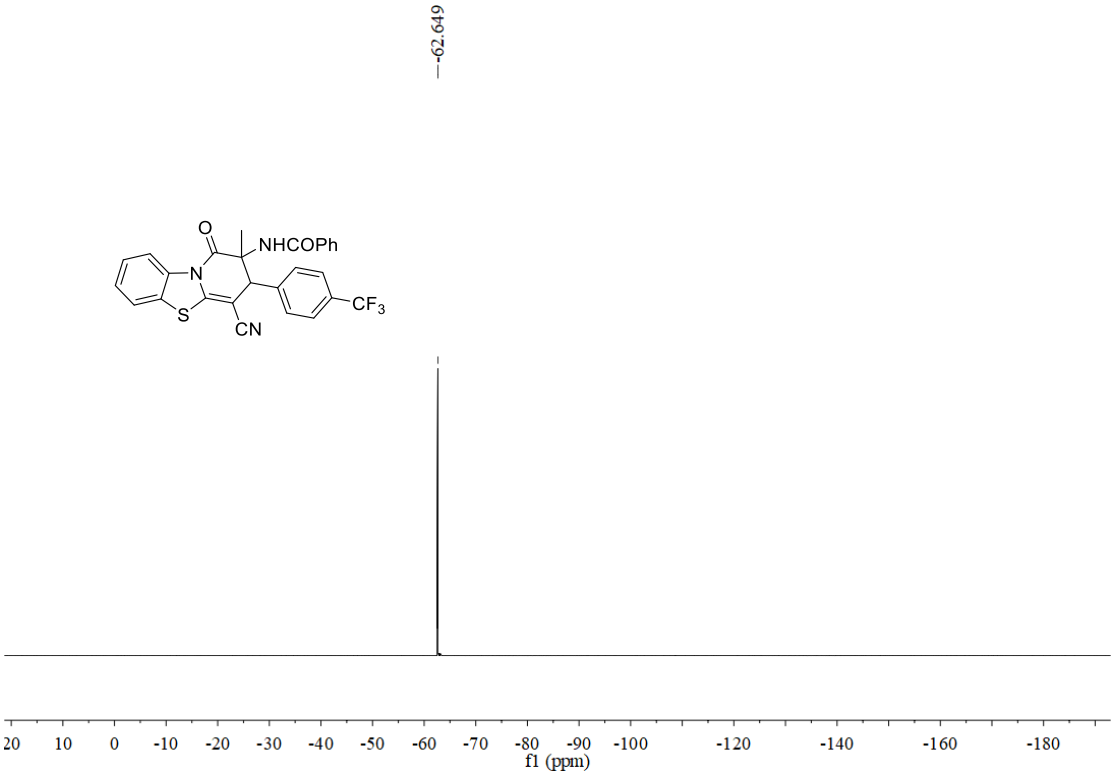
^{1}H NMR Spectrum of **3g**



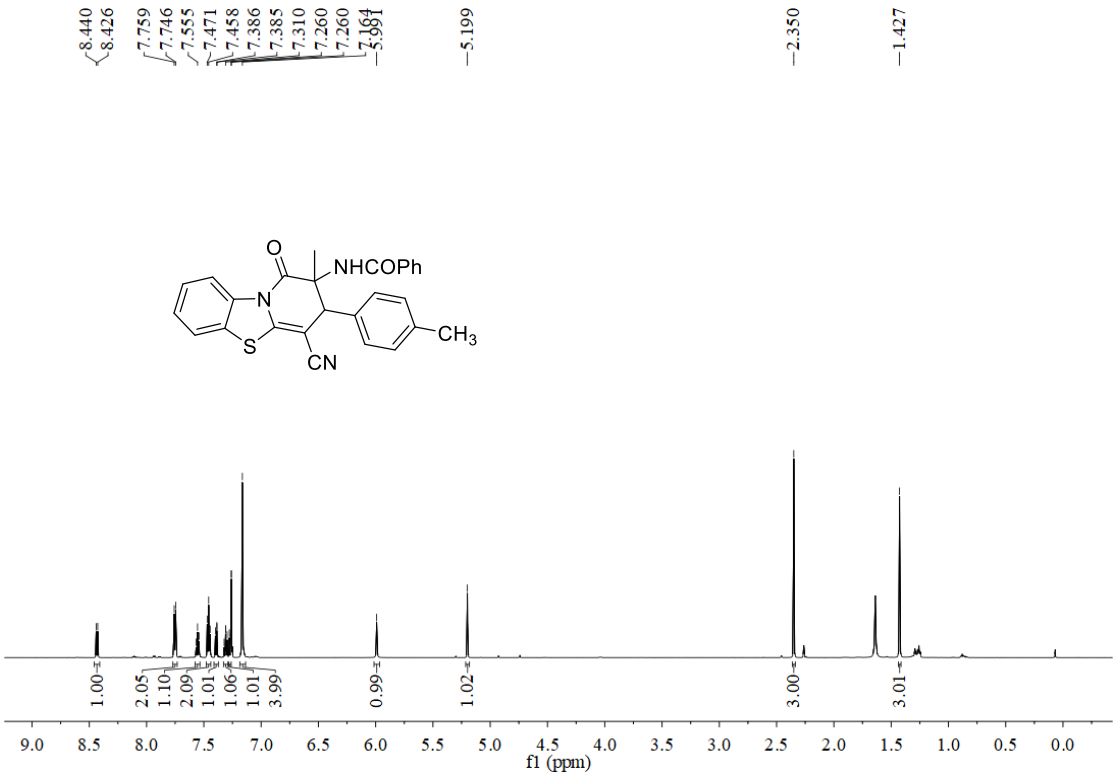
^{13}C NMR Spectrum of **3g**



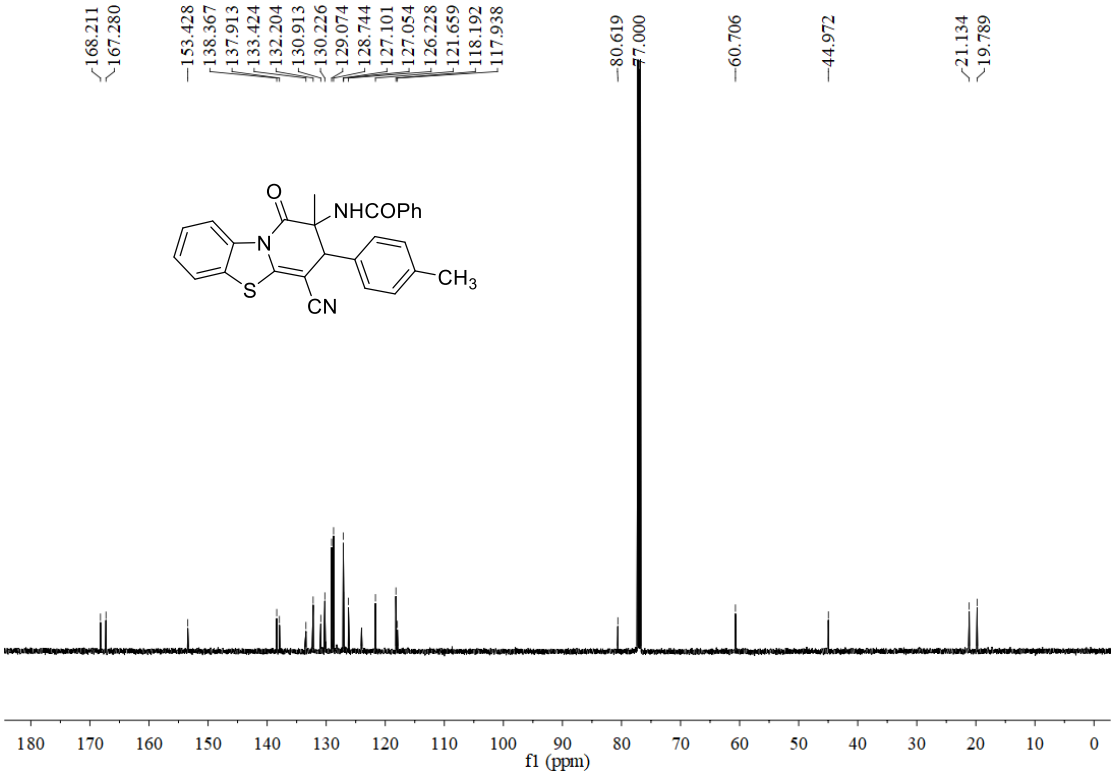
^{19}F NMR Spectrum of **3g**



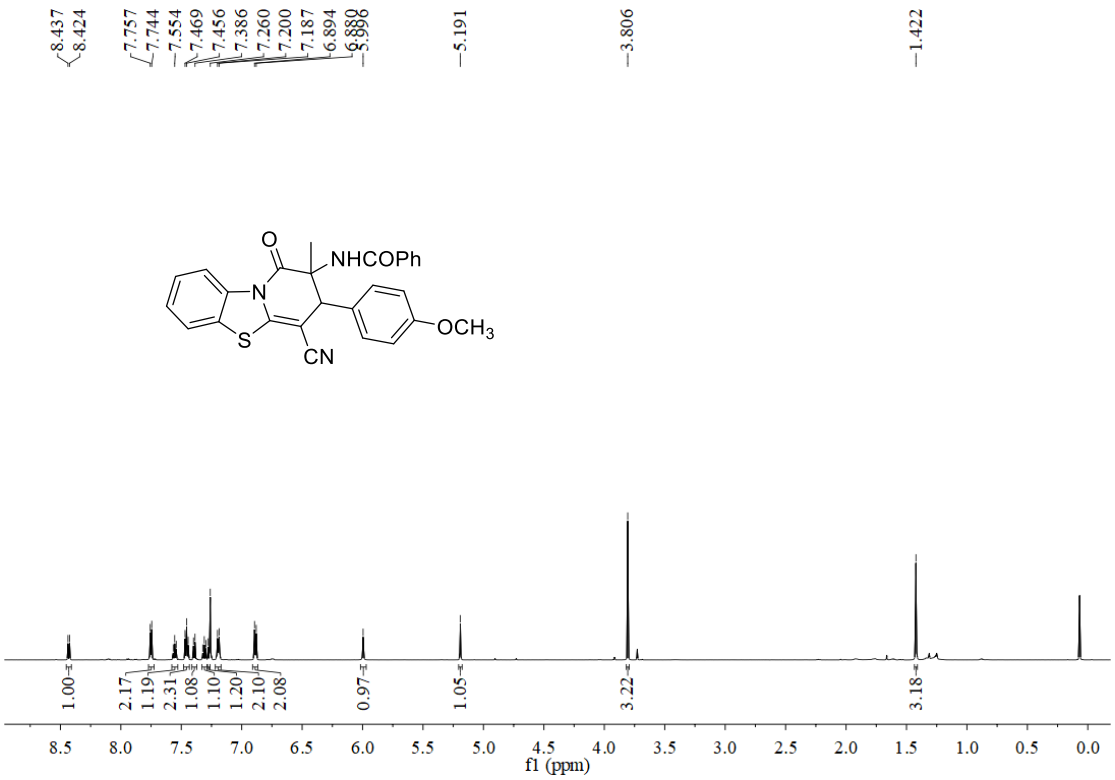
^{1}H NMR Spectrum of **3h**



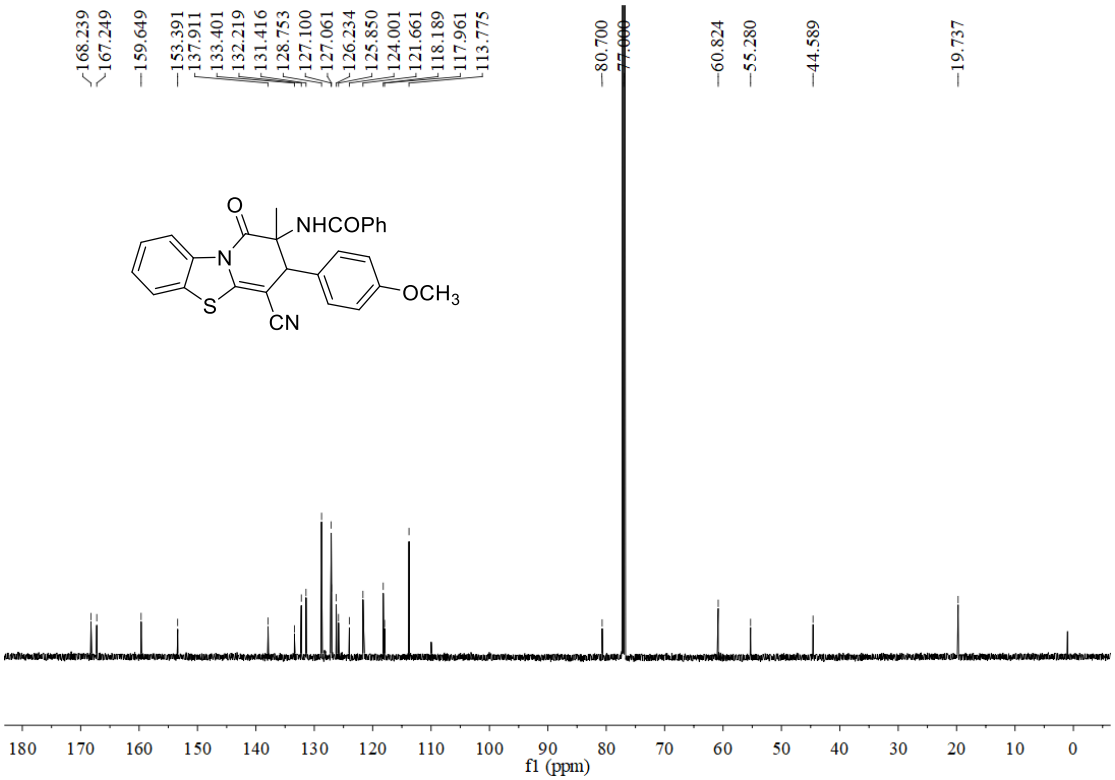
^{13}C NMR Spectrum of **3h**



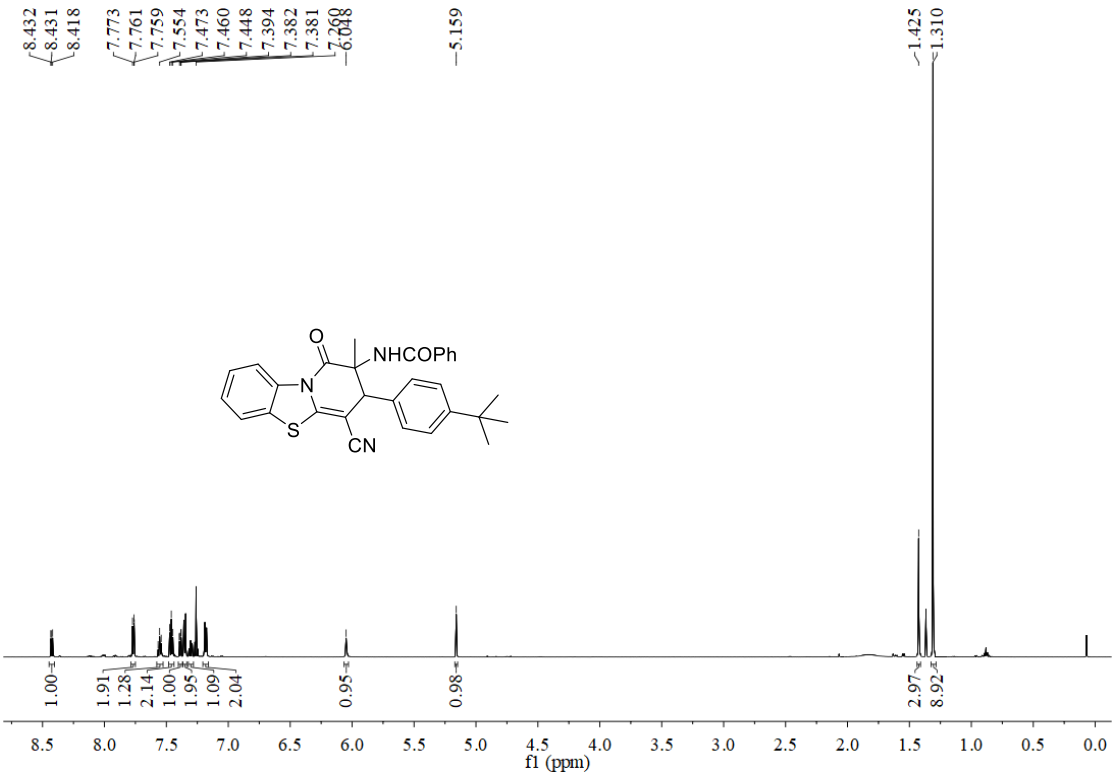
^{1}H NMR Spectrum of **3i**



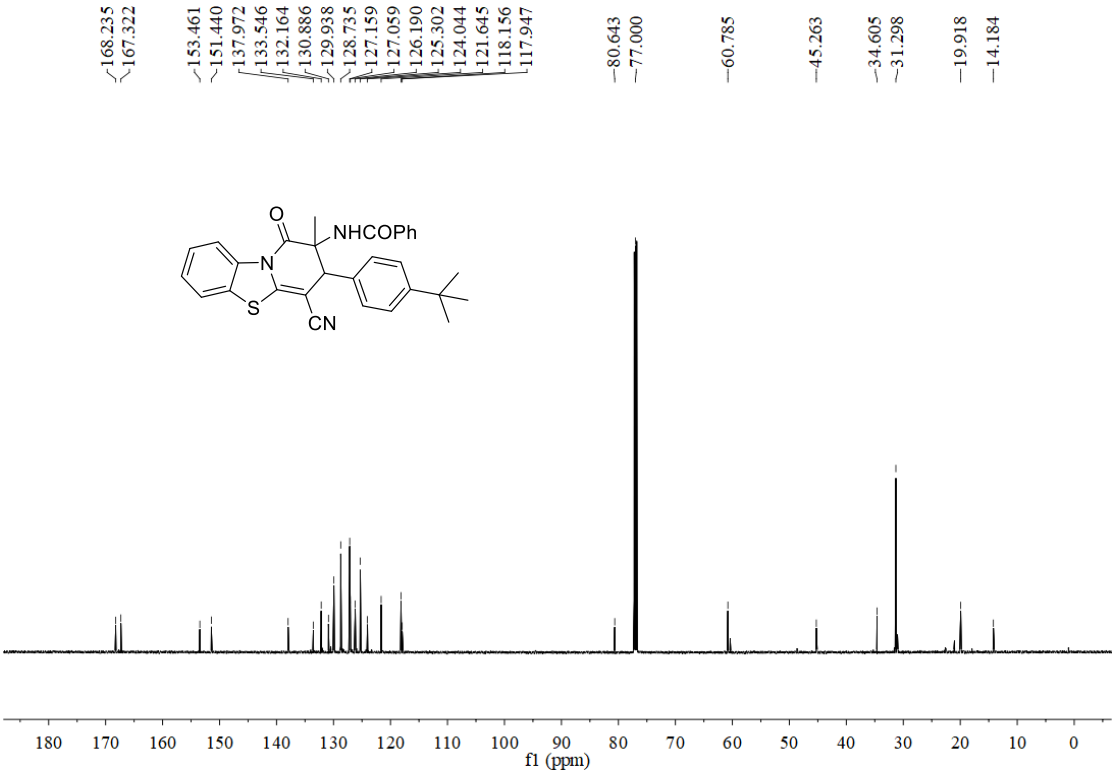
^{13}C NMR Spectrum of **3i**



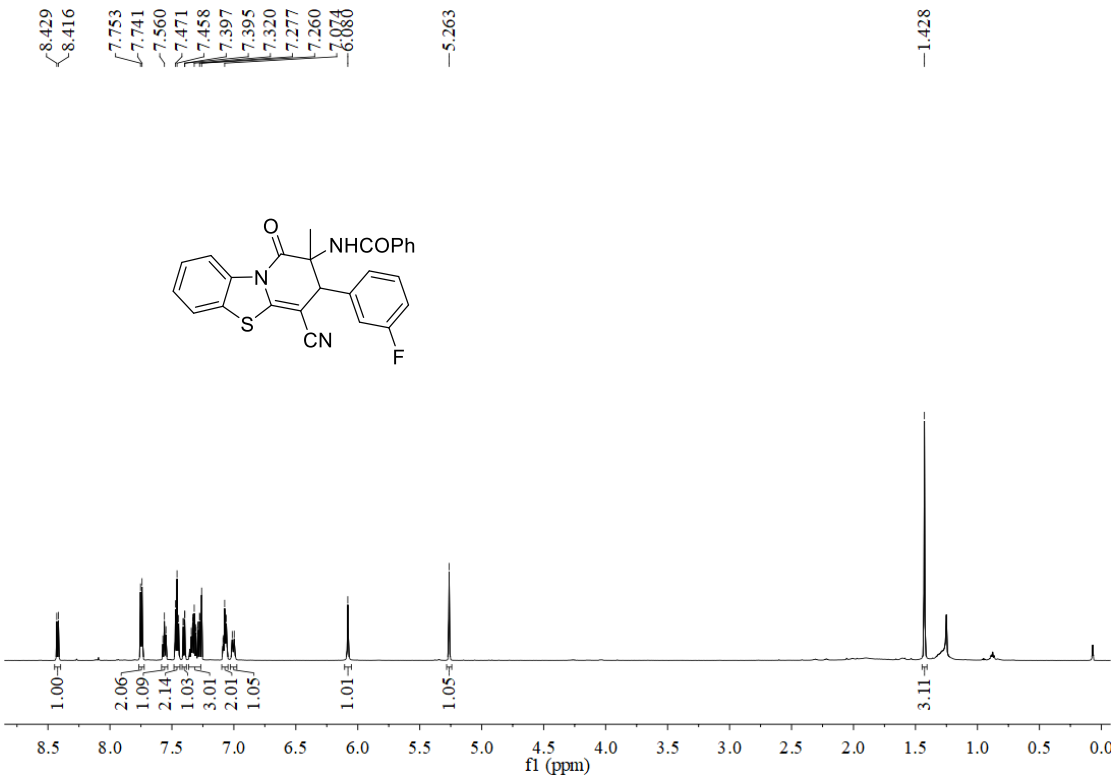
^{1}H NMR Spectrum of **3j**



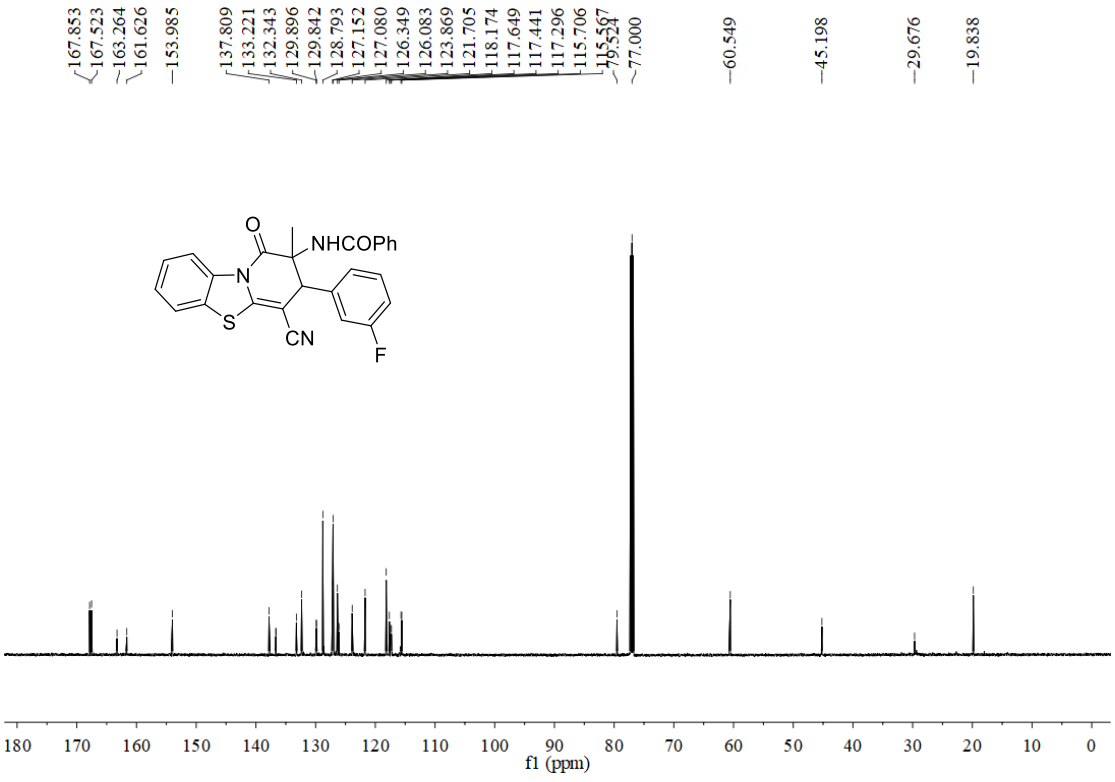
^{13}C NMR Spectrum of **3j**



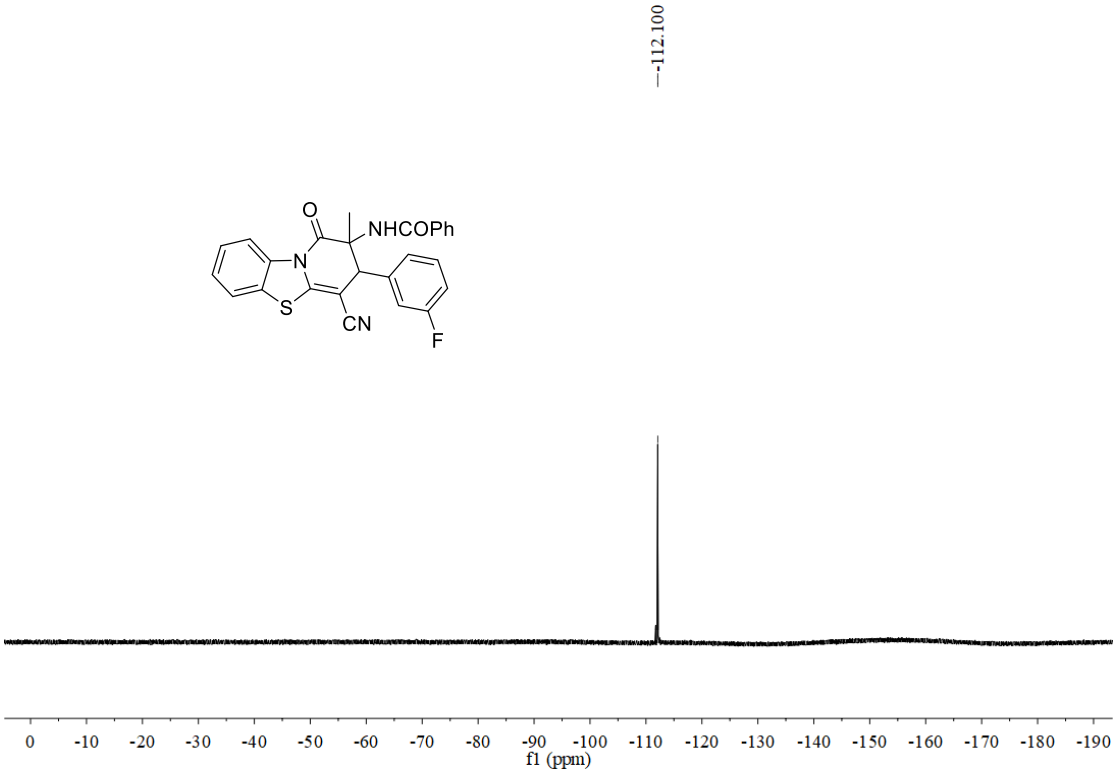
^{1}H NMR Spectrum of **3k**



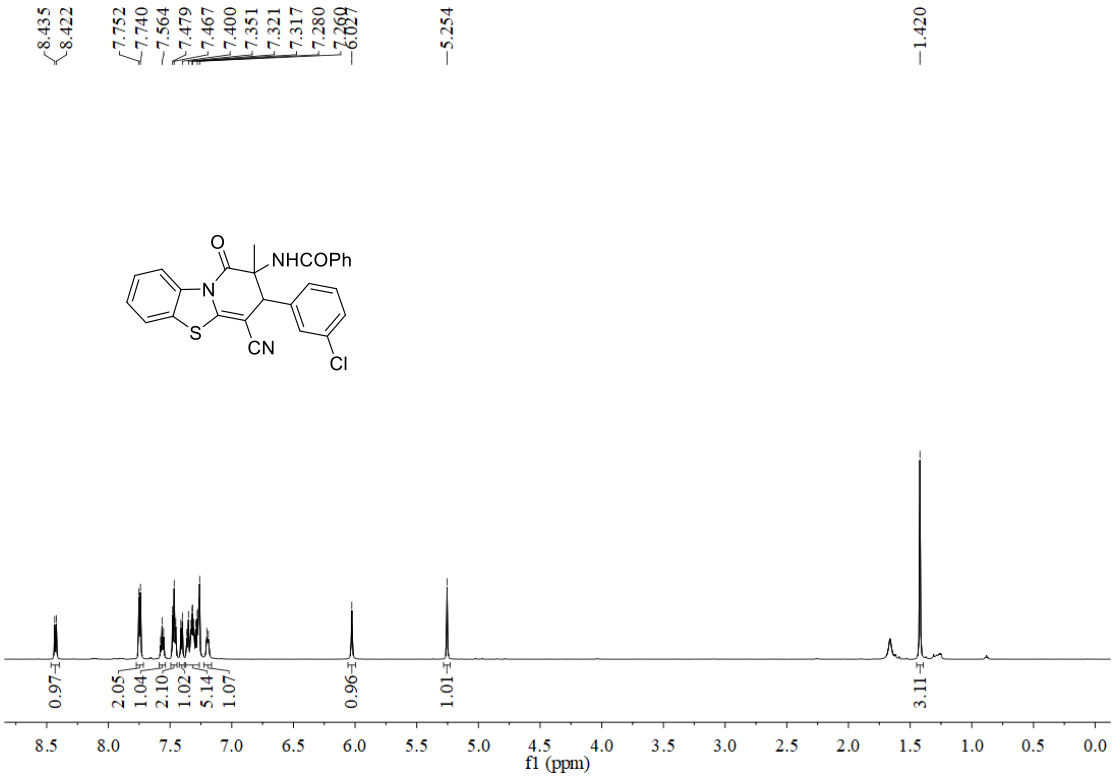
^{13}C NMR Spectrum of **3k**



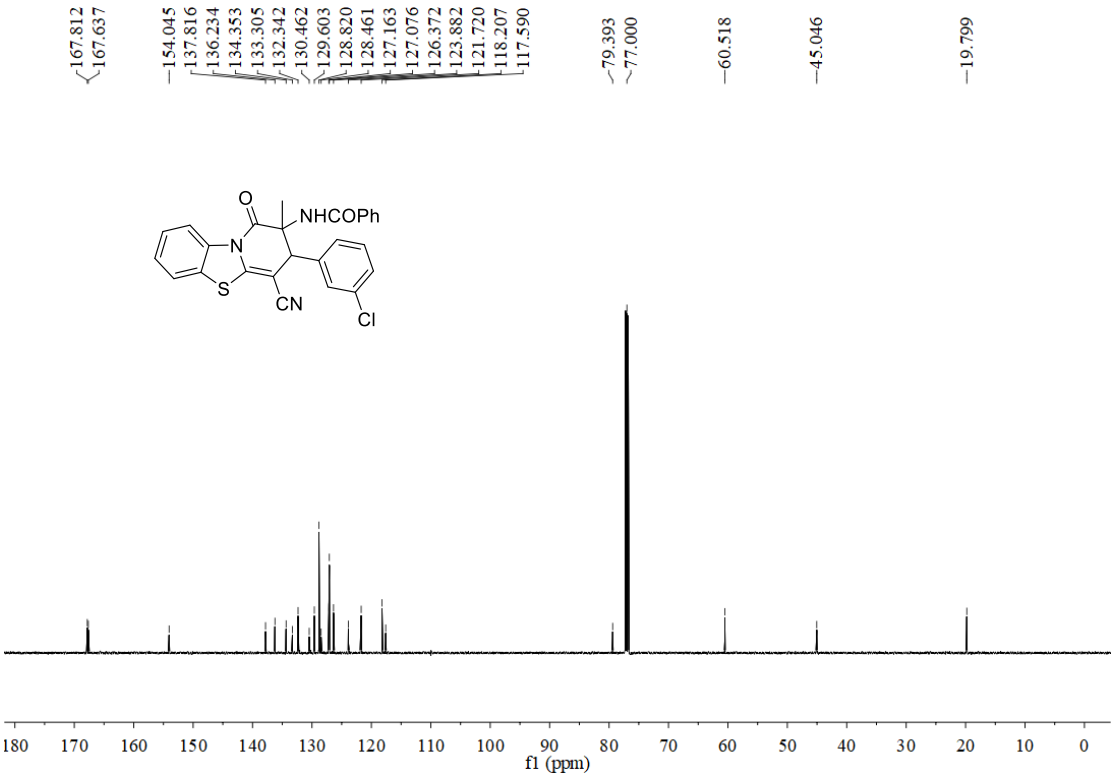
^{19}F NMR Spectrum of **3k**



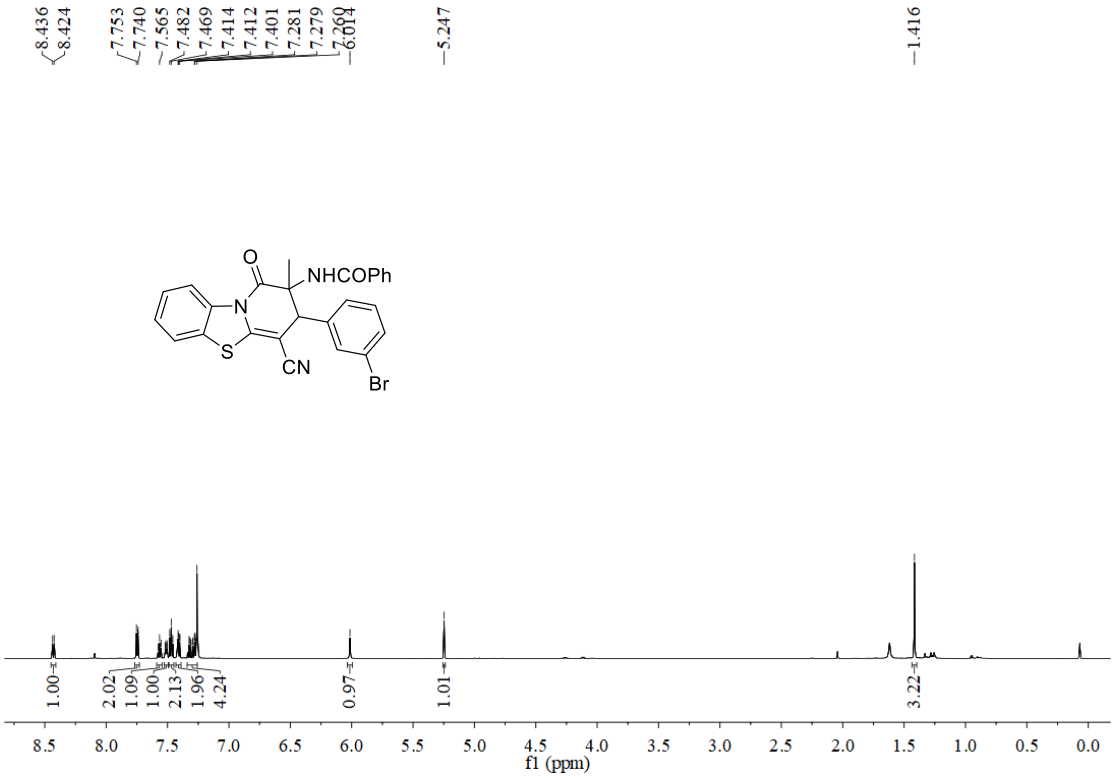
^{1}H NMR Spectrum of **3l**



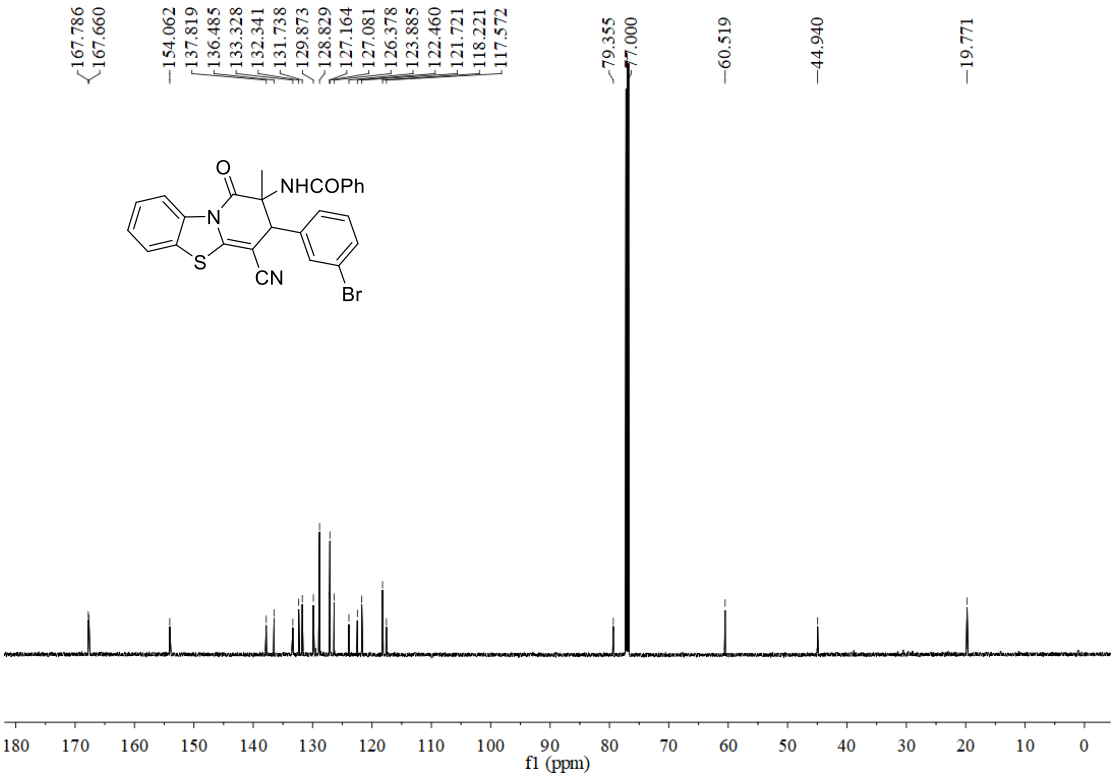
^{13}C NMR Spectrum of **3l**



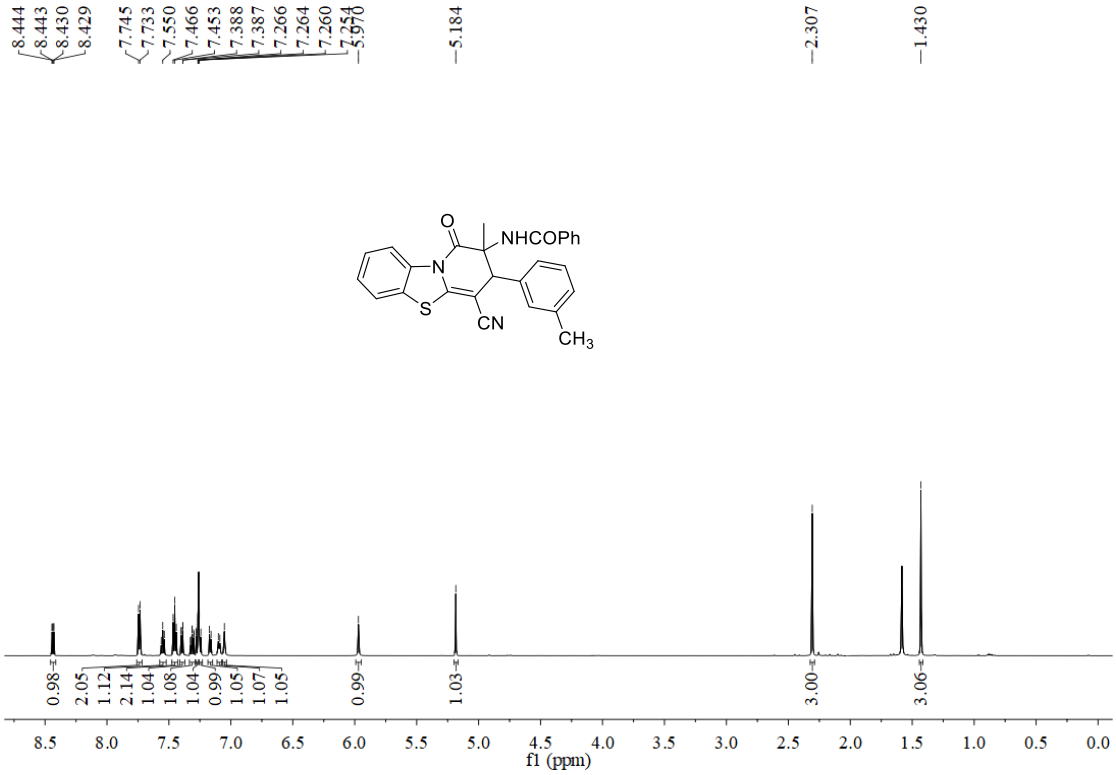
^{1}H NMR Spectrum of **3m**



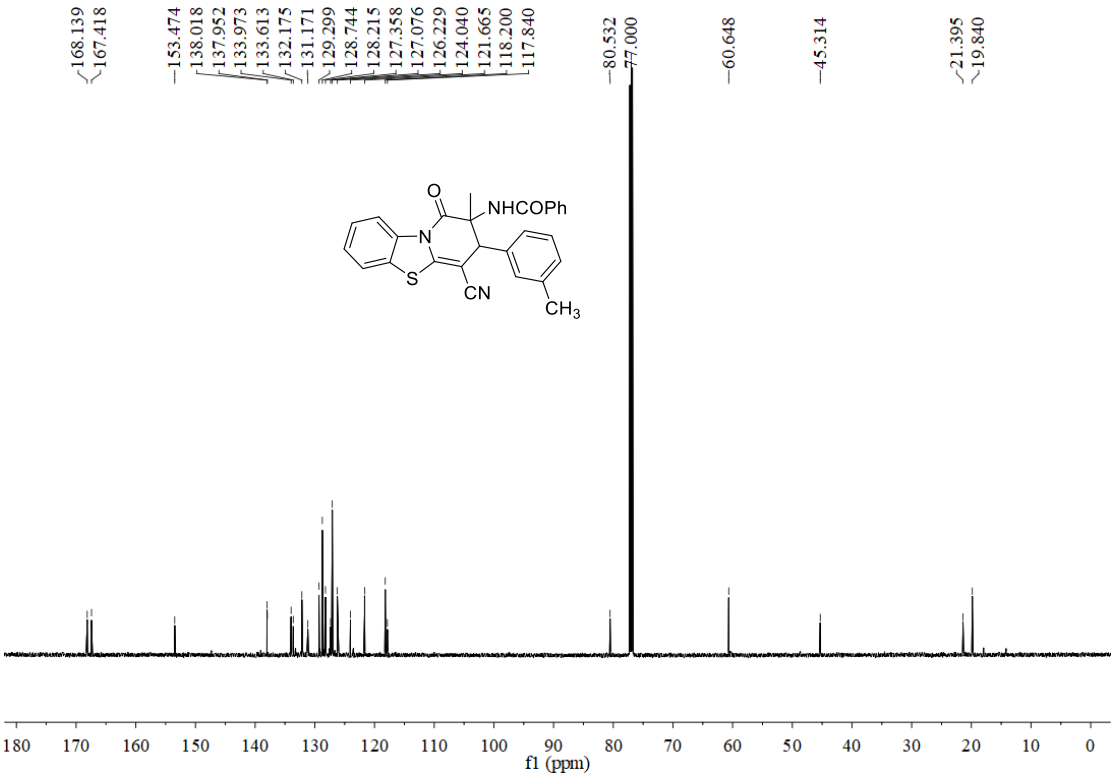
^{13}C NMR Spectrum of **3m**



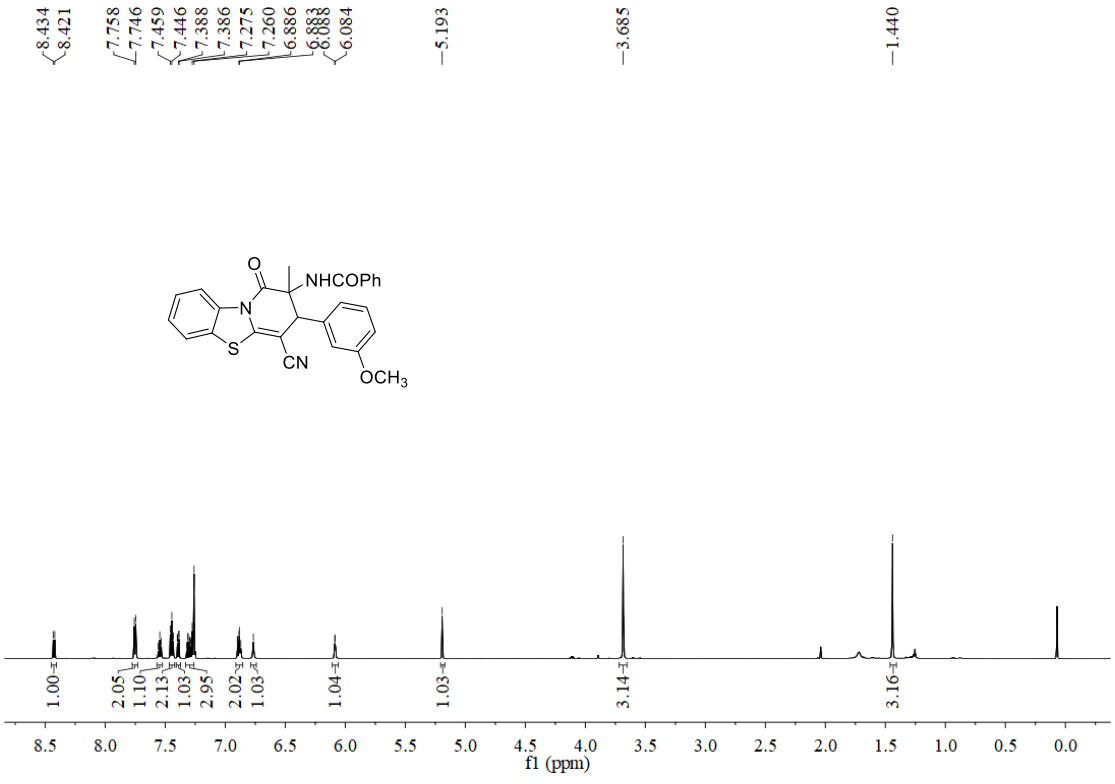
^{1}H NMR Spectrum of **3n**



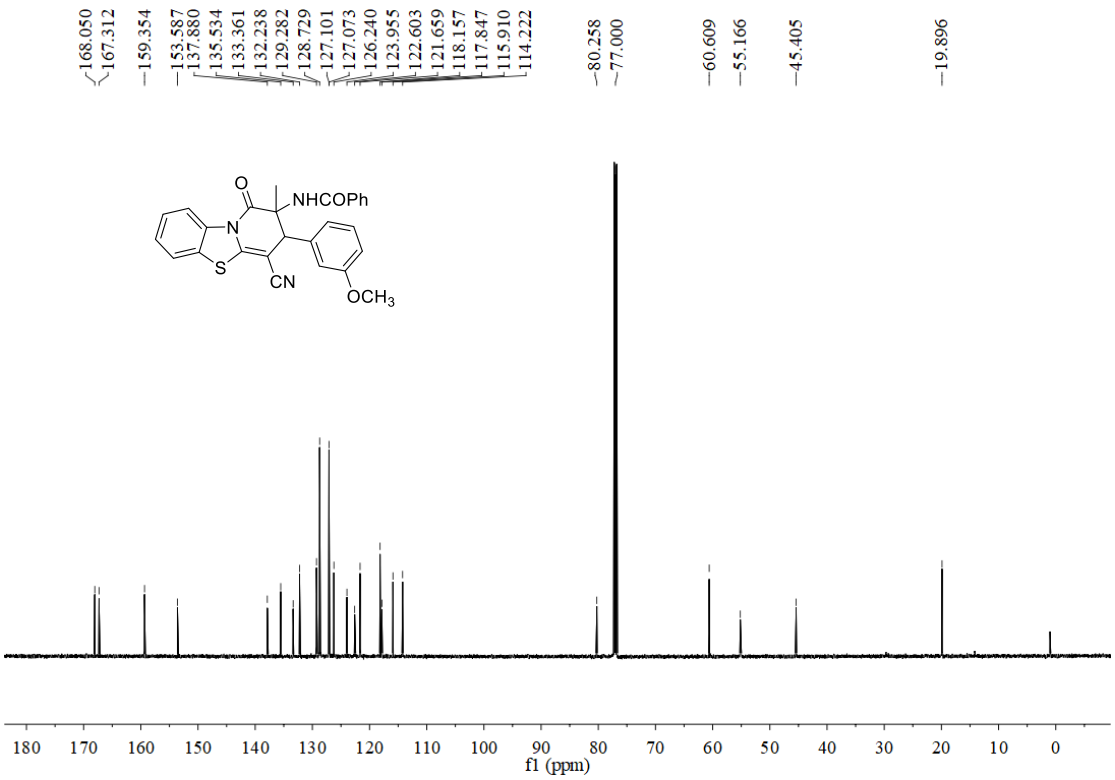
^{13}C NMR Spectrum of **3n**



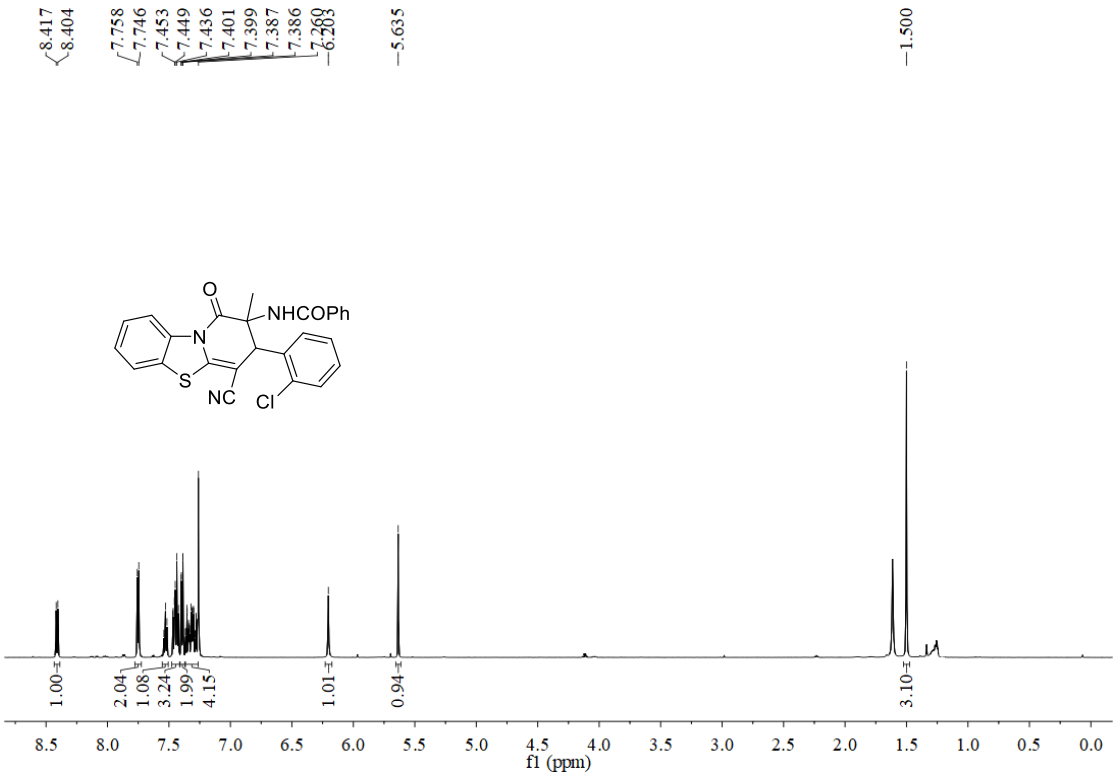
^{1}H NMR Spectrum of **3o**



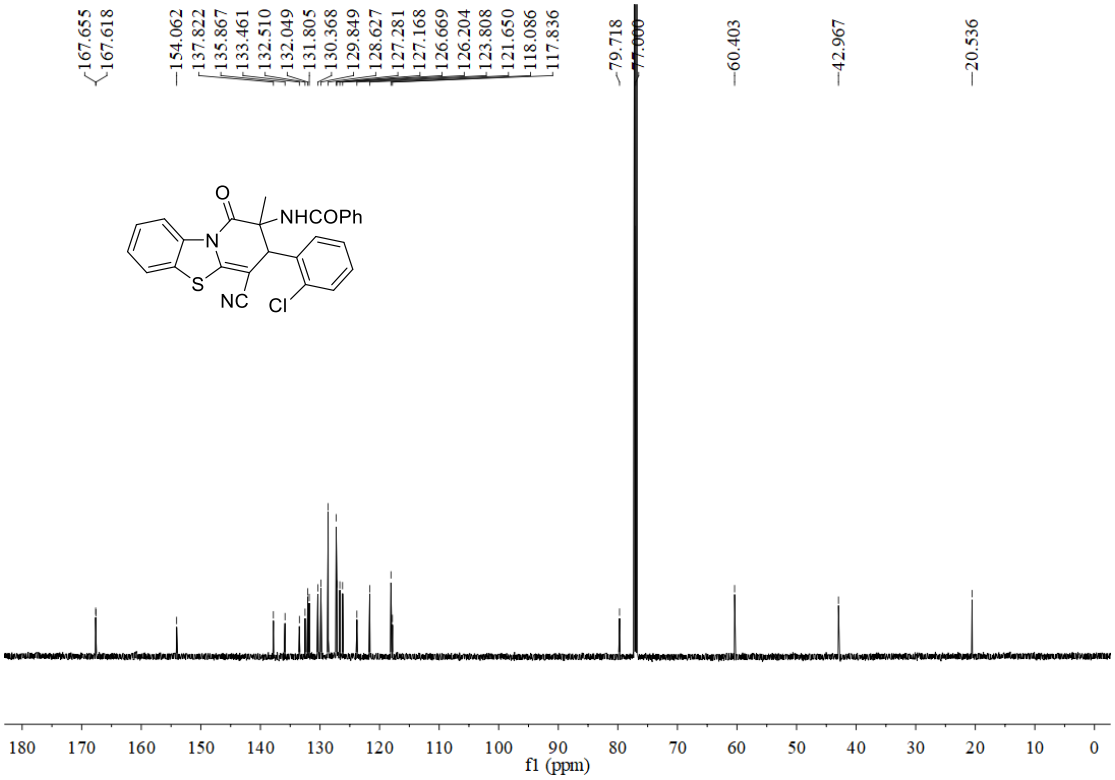
^{13}C NMR Spectrum of **3o**



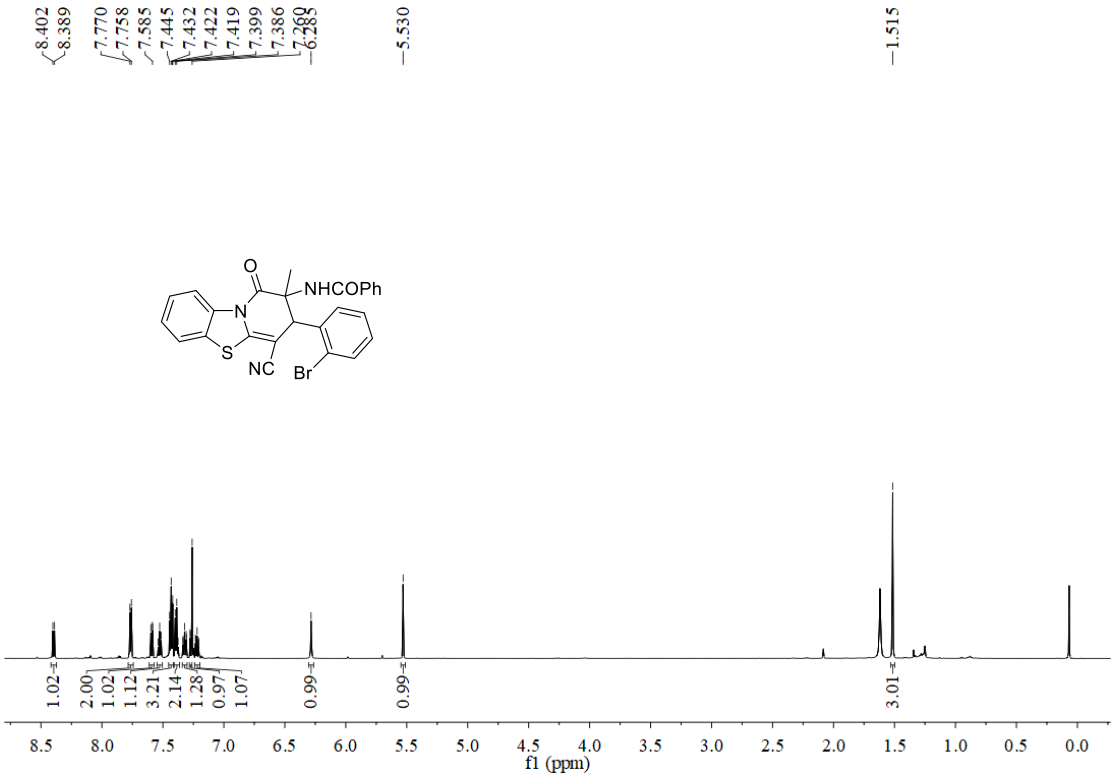
^{1}H NMR Spectrum of **3p**



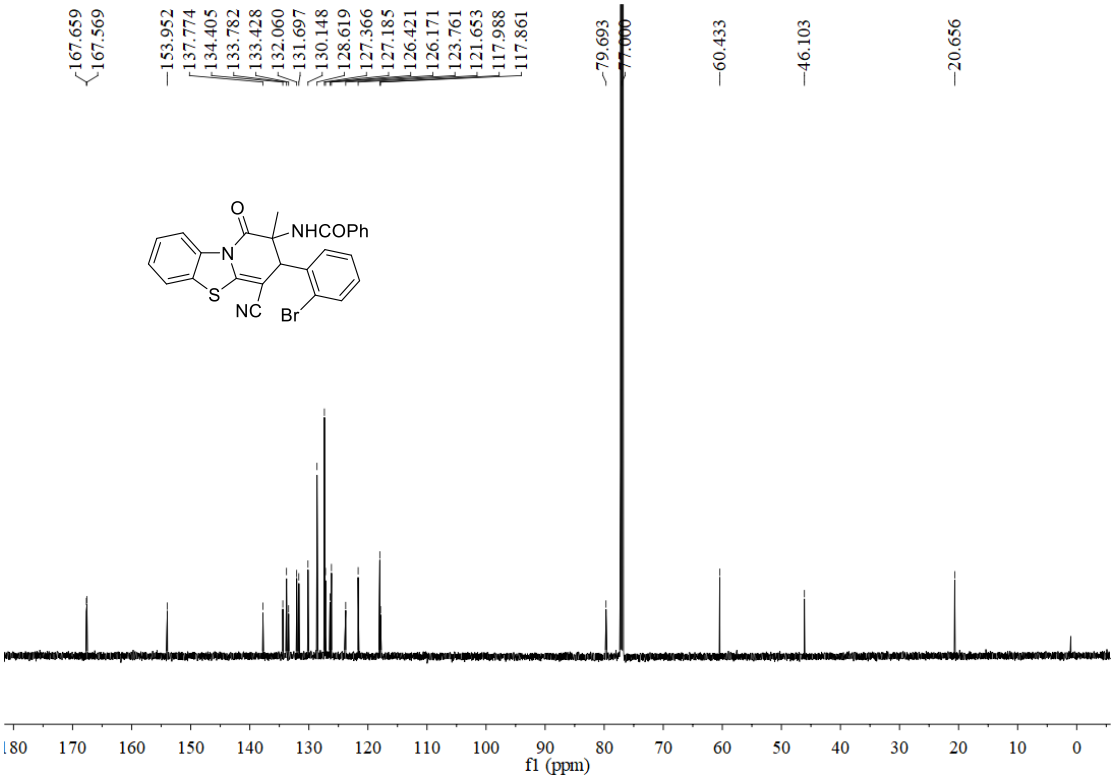
^{13}C NMR Spectrum of **3p**



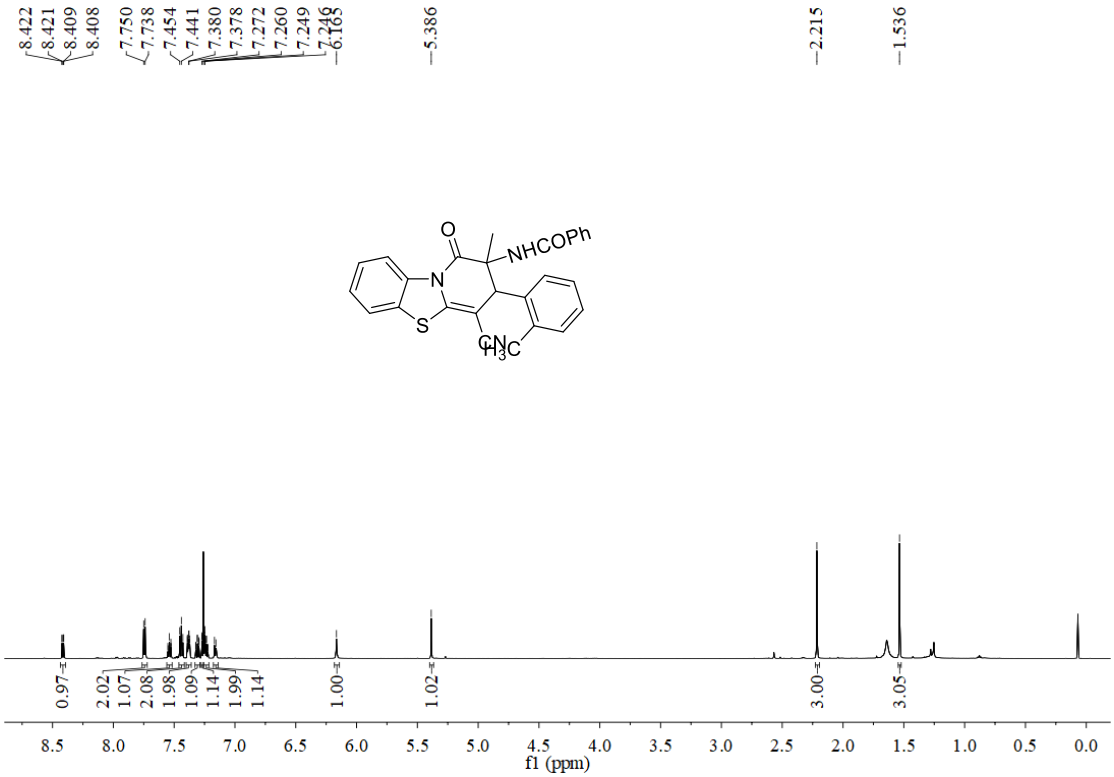
^{1}H NMR Spectrum of **3q**



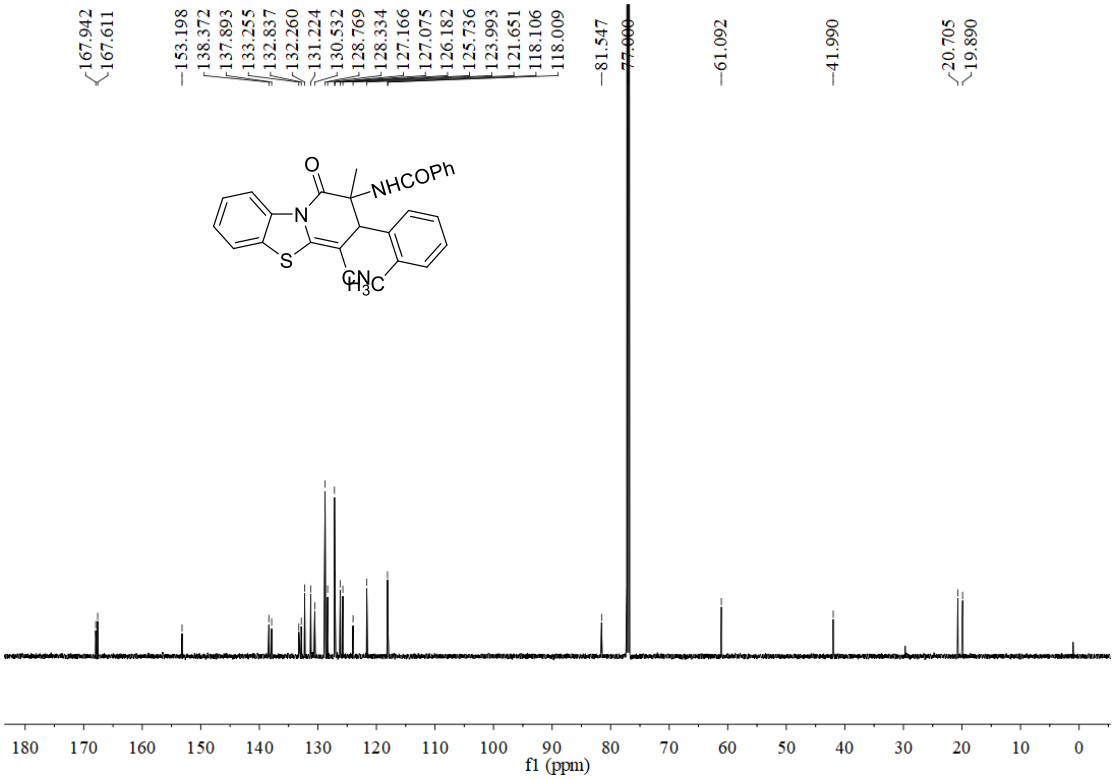
^{13}C NMR Spectrum of **3q**



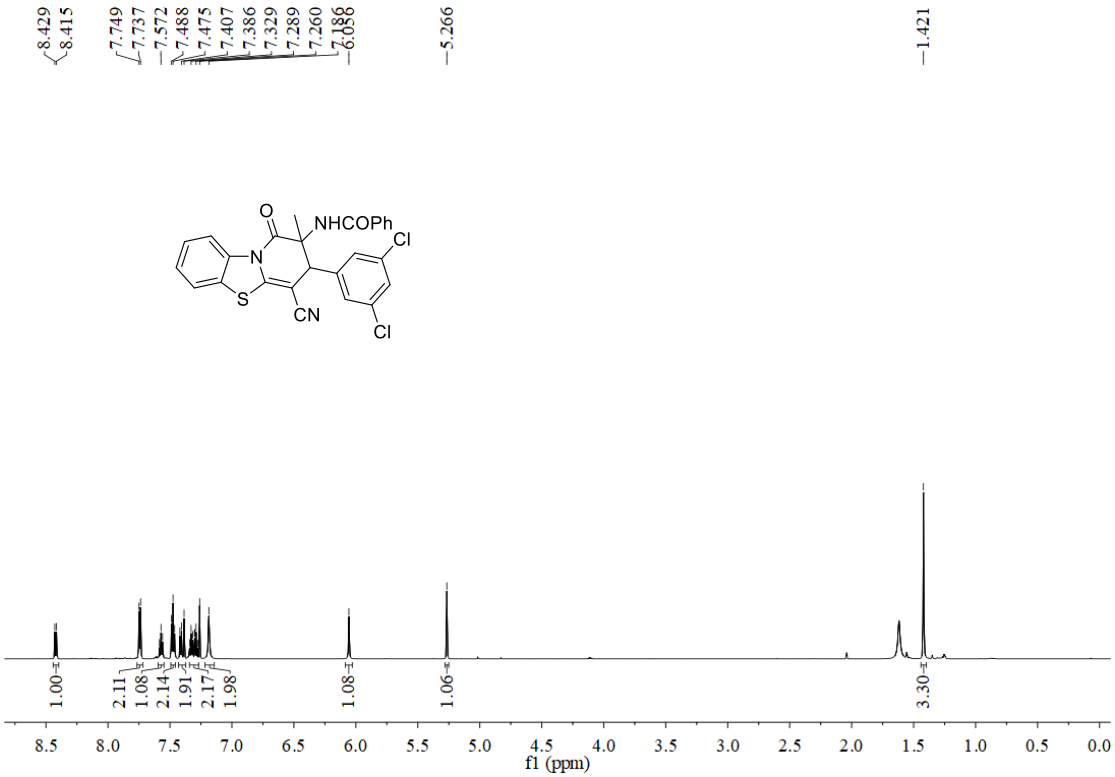
^{1}H NMR Spectrum of **3r**



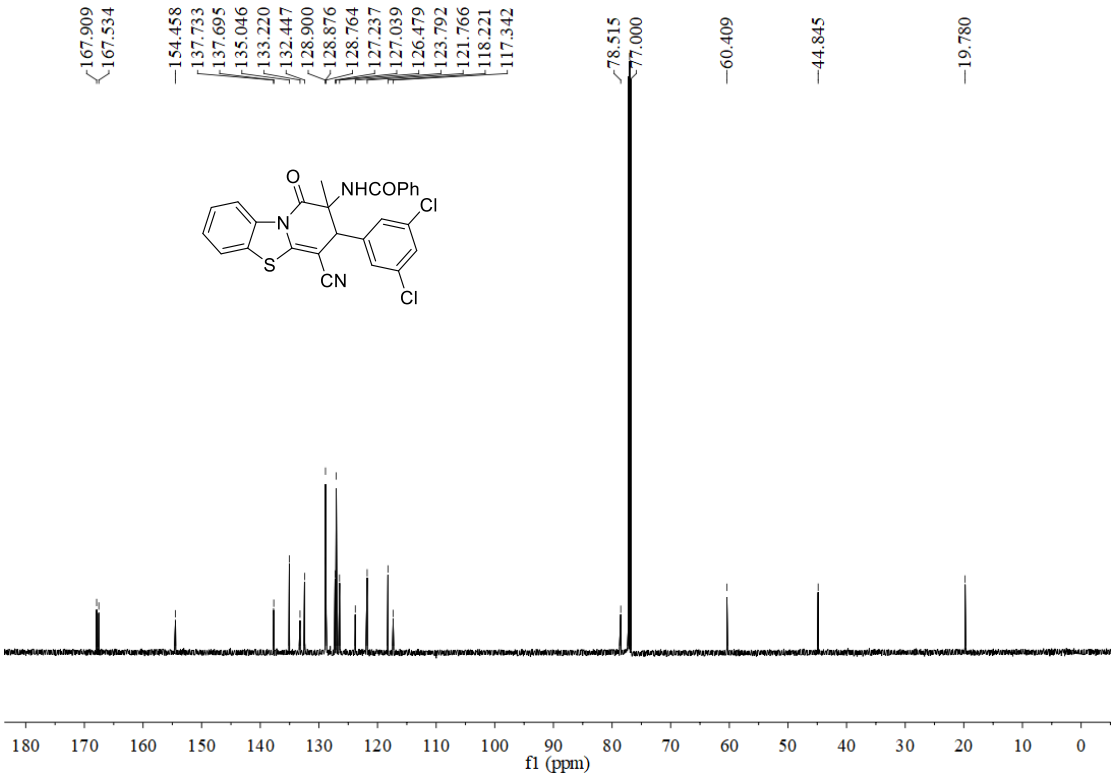
^{13}C NMR Spectrum of **3r**



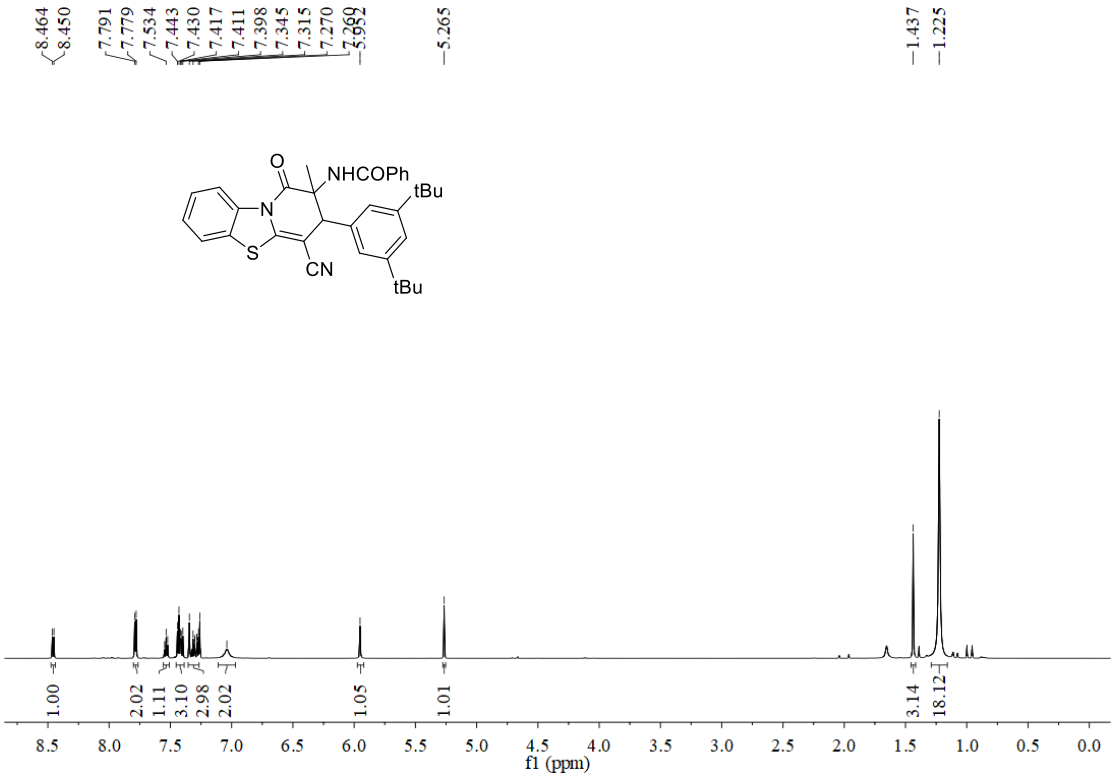
^{1}H NMR Spectrum of **3s**



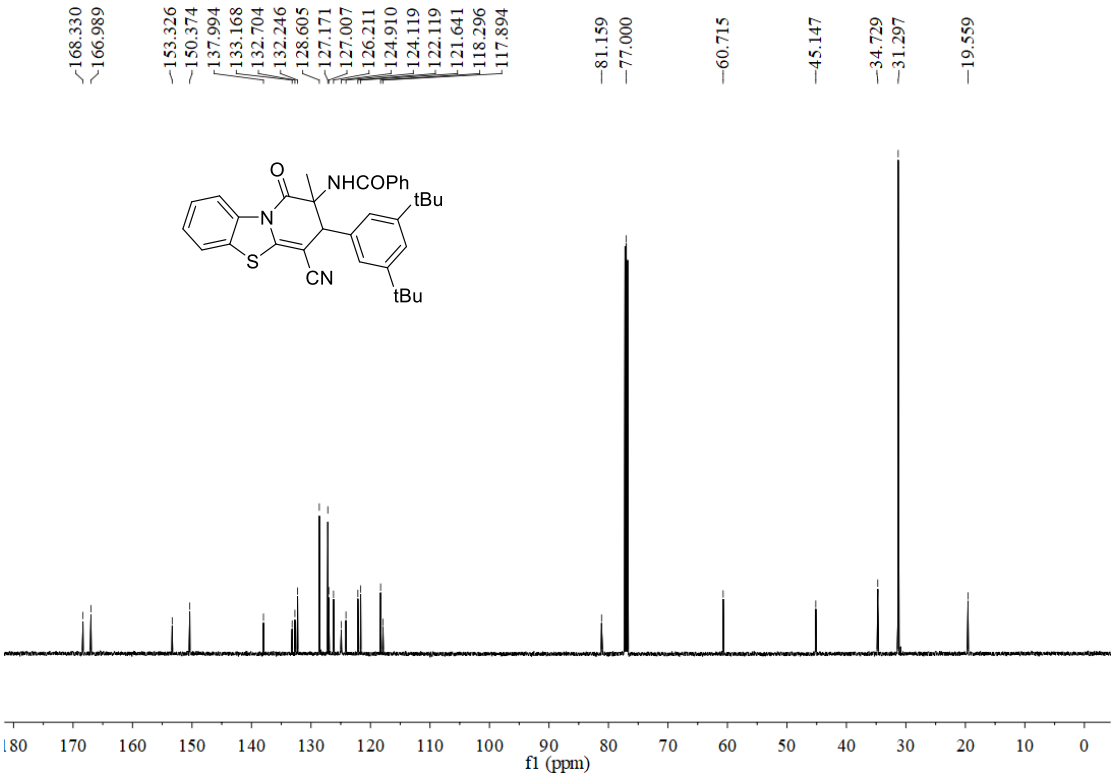
^{13}C NMR Spectrum of **3s**



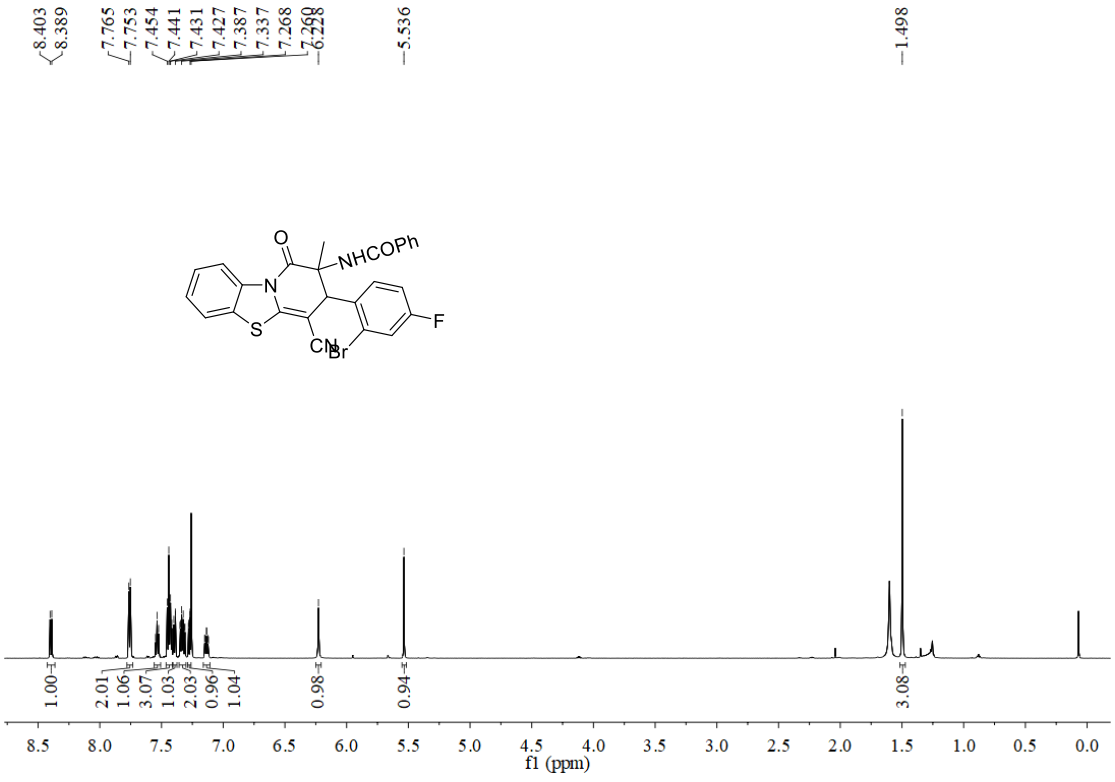
^{1}H NMR Spectrum of **3t**



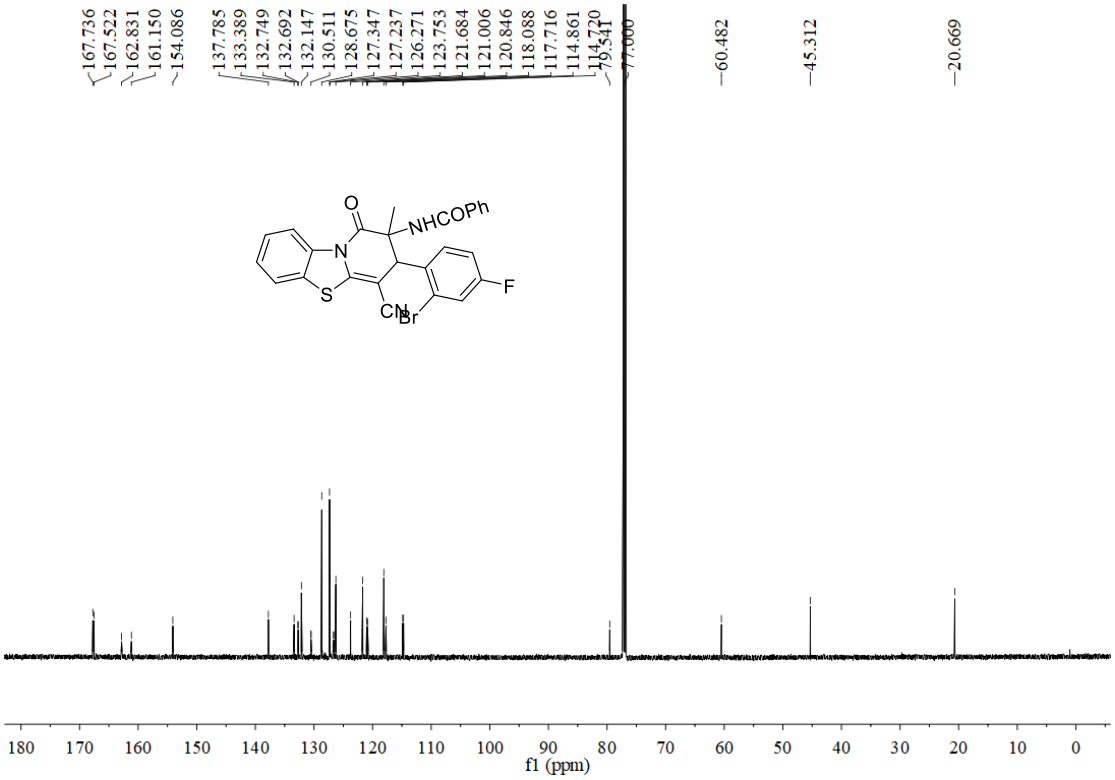
^{13}C NMR Spectrum of **3t**



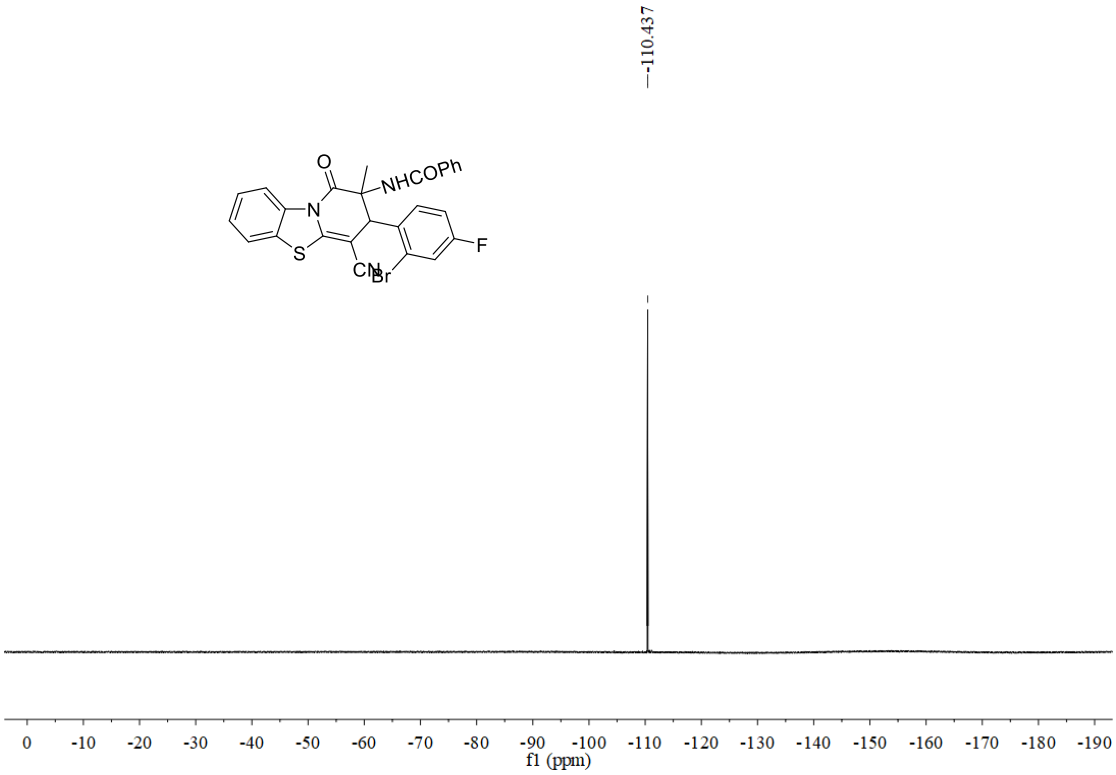
^{1}H NMR Spectrum of **3u**



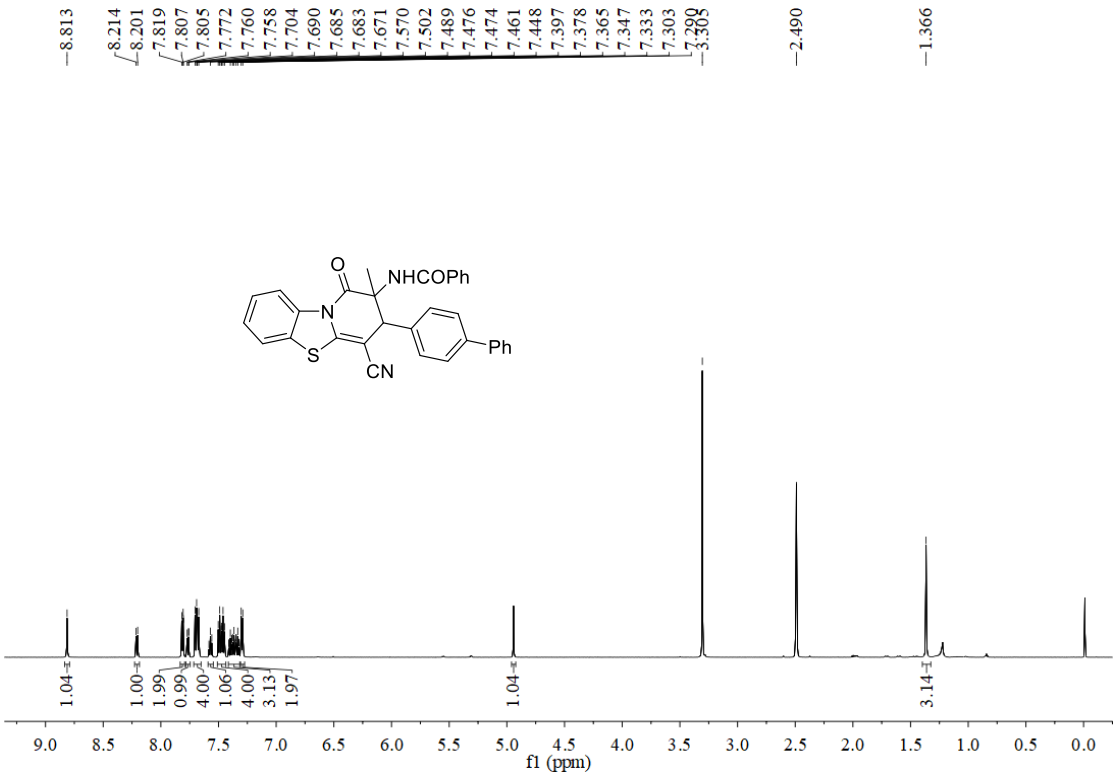
^{13}C NMR Spectrum of **3u**



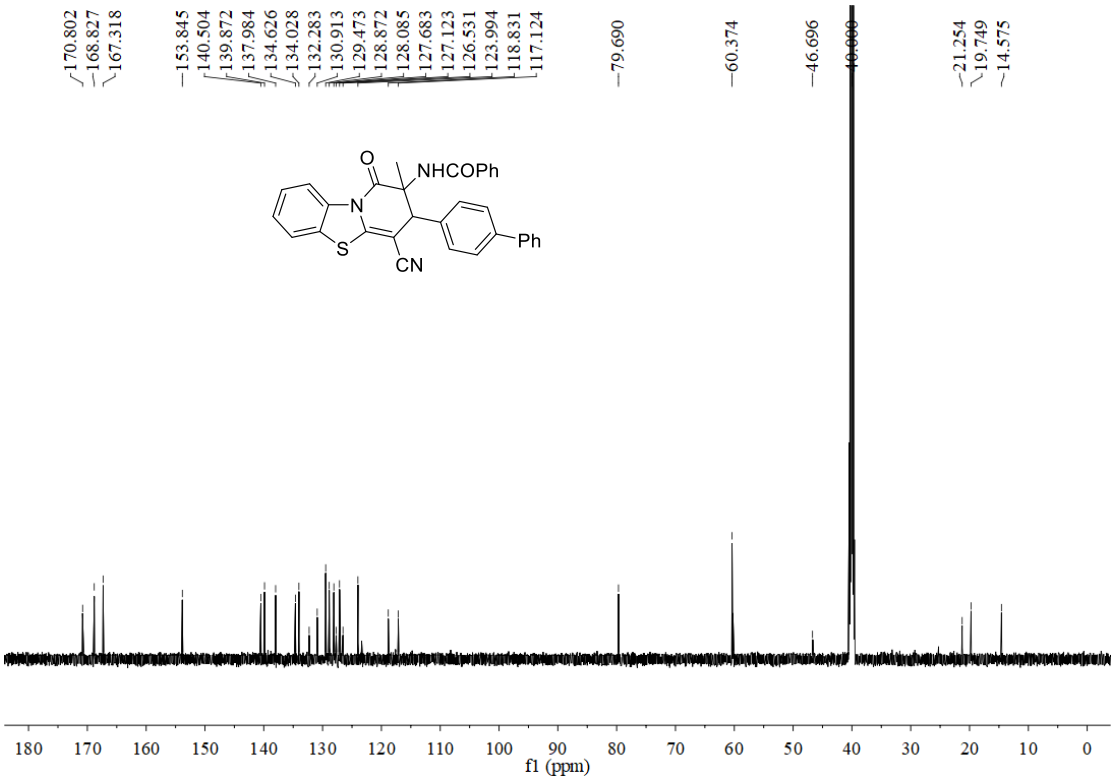
^{19}F NMR Spectrum of **3u**



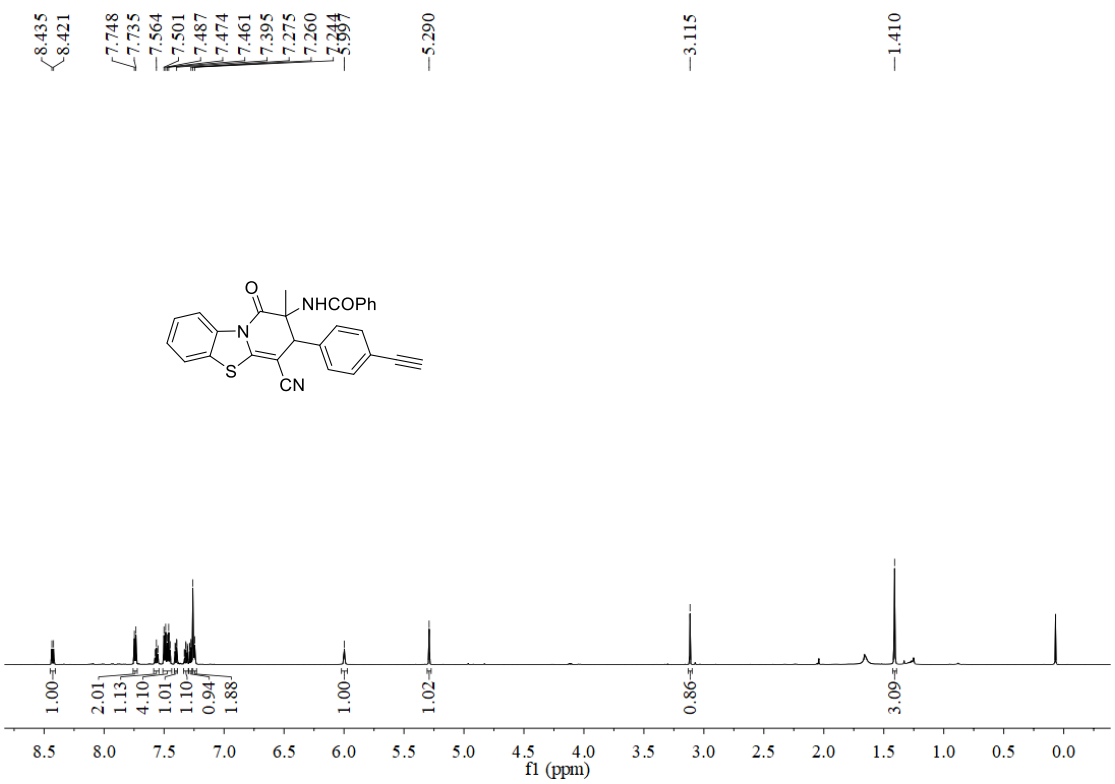
^{1}H NMR Spectrum of **3v**



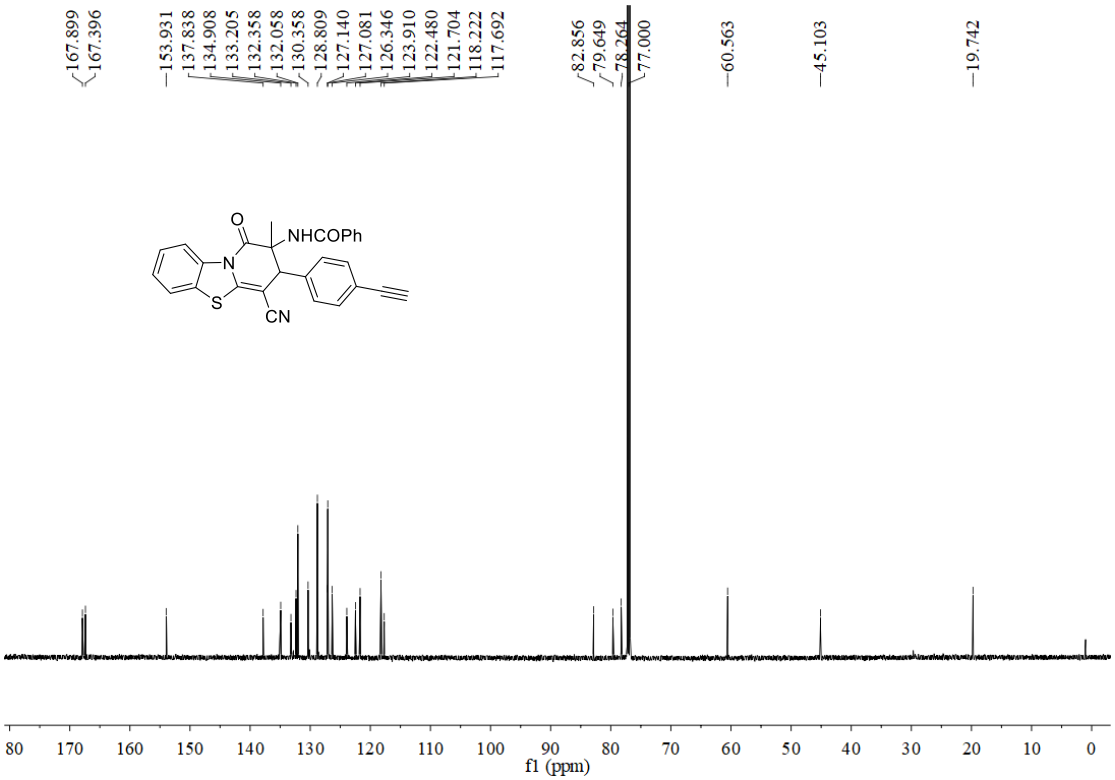
^{13}C NMR Spectrum of **3v**



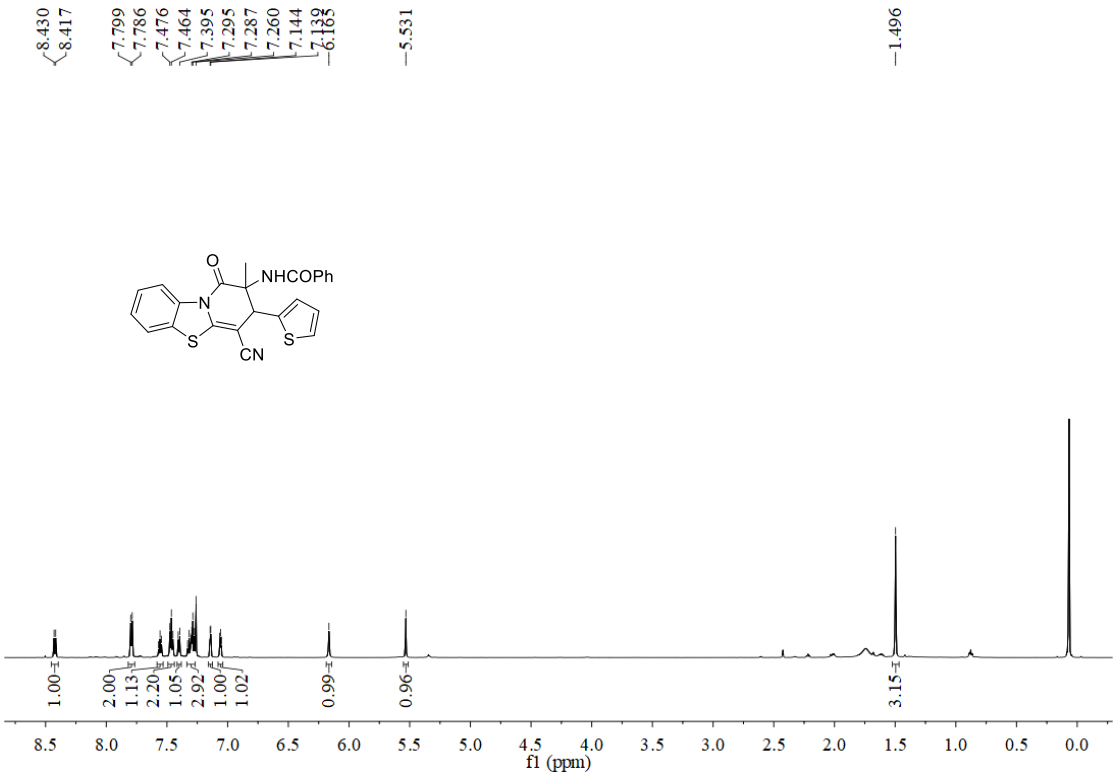
^{1}H NMR Spectrum of **3w**



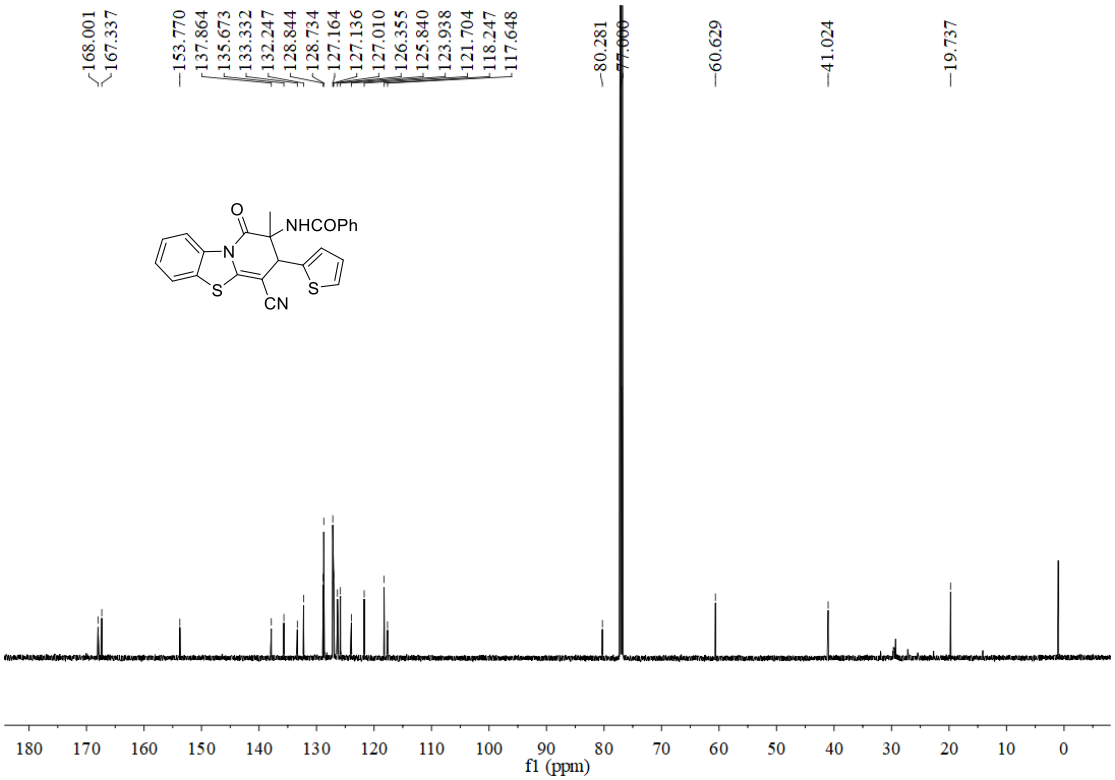
^{13}C NMR Spectrum of **3w**



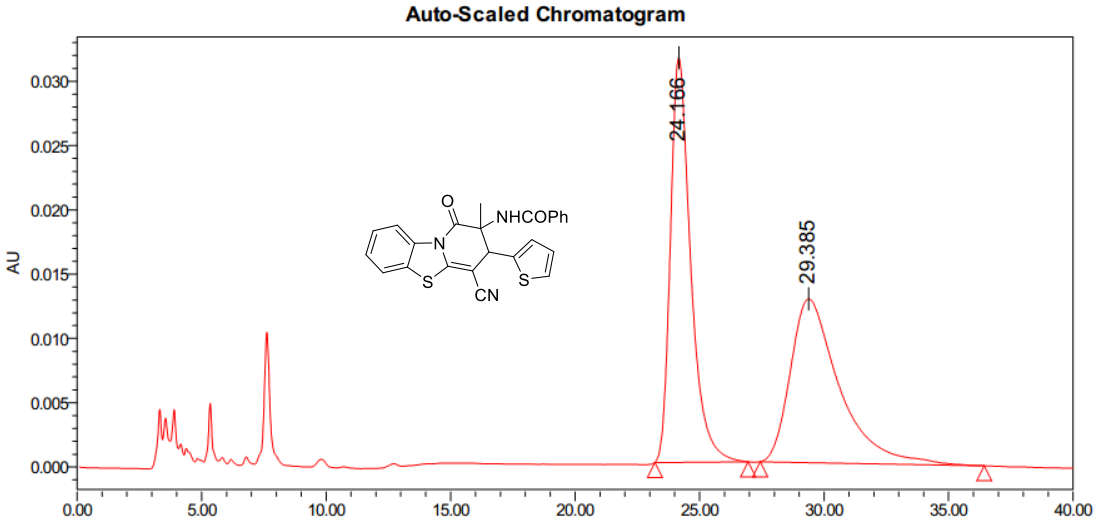
^{1}H NMR Spectrum of **3x**



^{13}C NMR Spectrum of **3x**

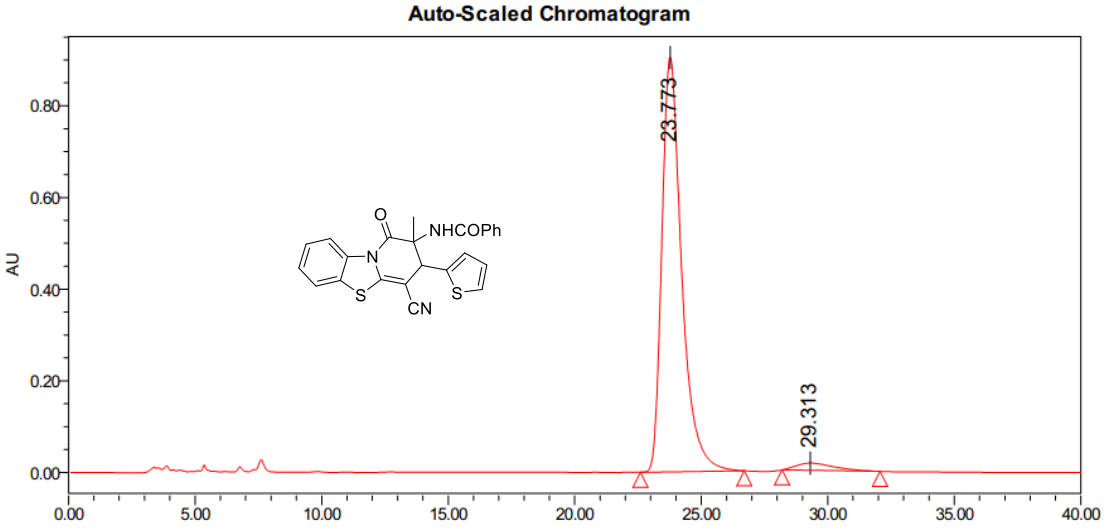


HPLC chromatogram of **3x**



Peak Results

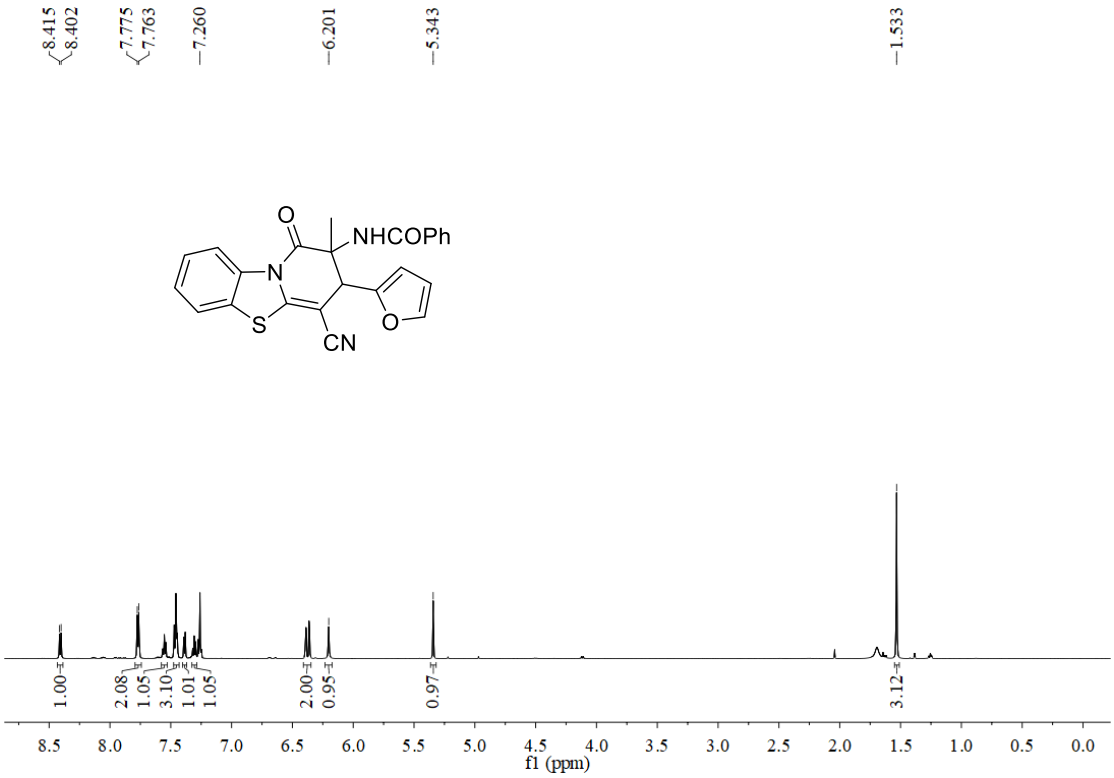
	Name	RT	Area	Height	% Area
1		24.166	1782438	31476	50.56
2		29.385	1742848	12728	49.44



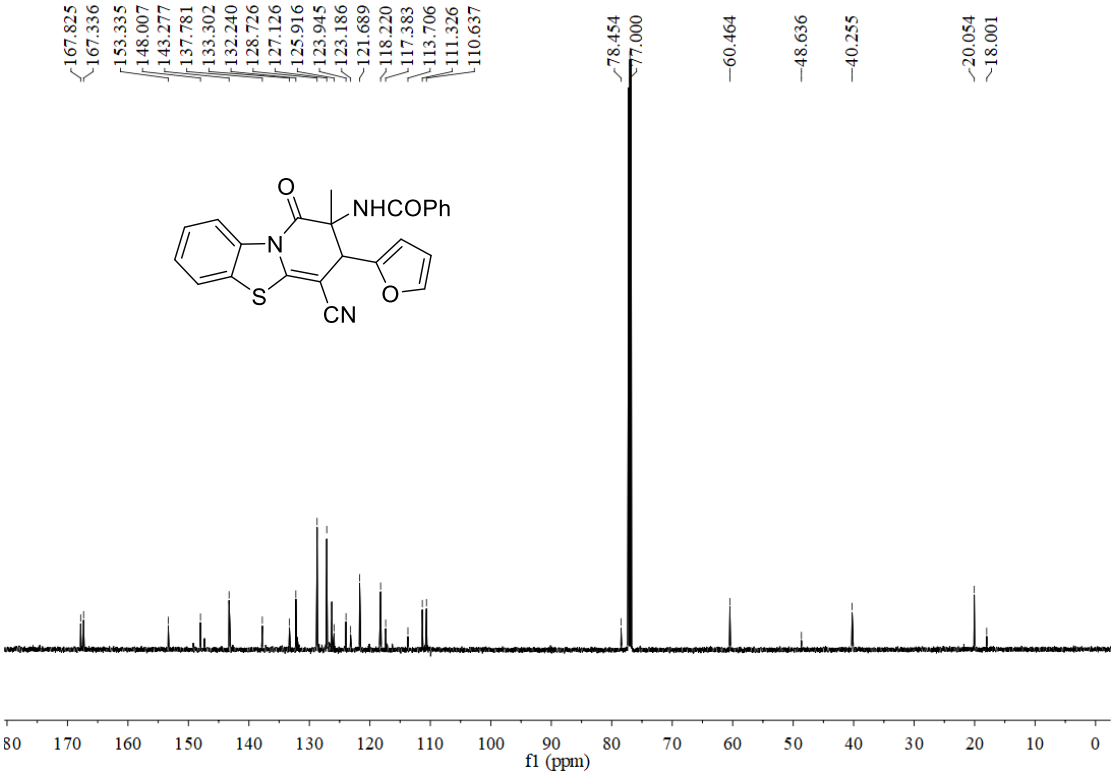
Peak Results

	Name	RT	Area	Height	% Area
1		23.773	49156097	904362	96.82
2		29.313	1613997	15367	3.18

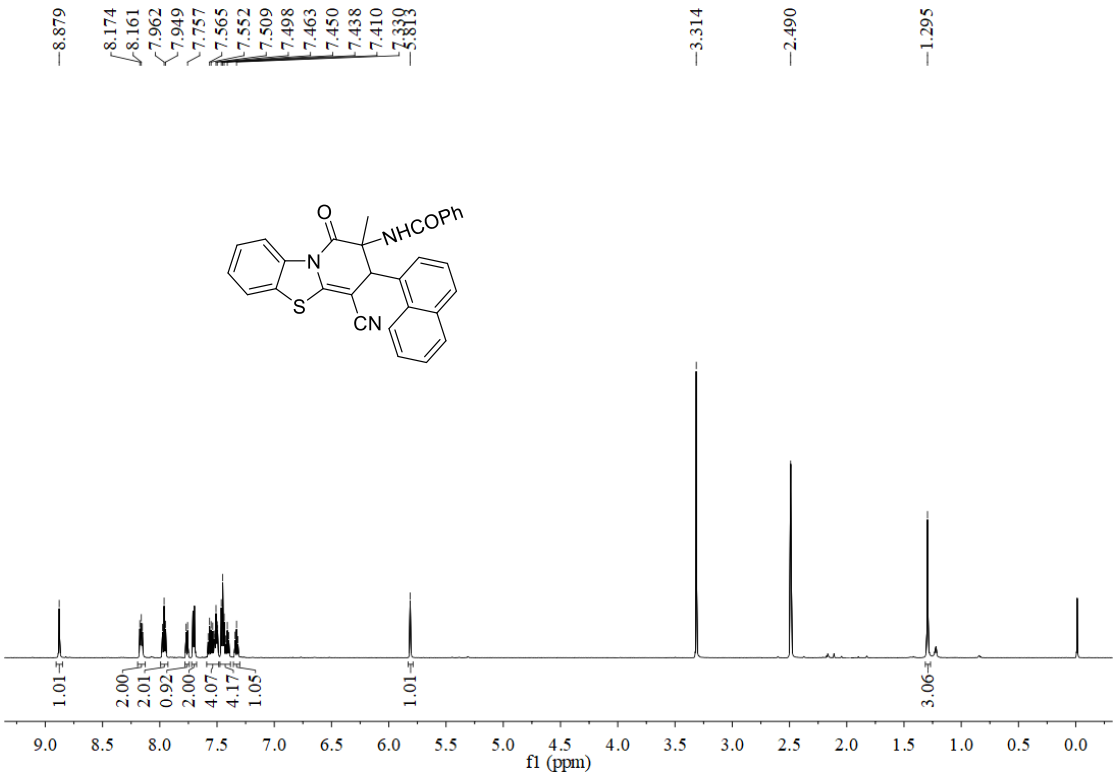
^{1}H NMR Spectrum of **3y**



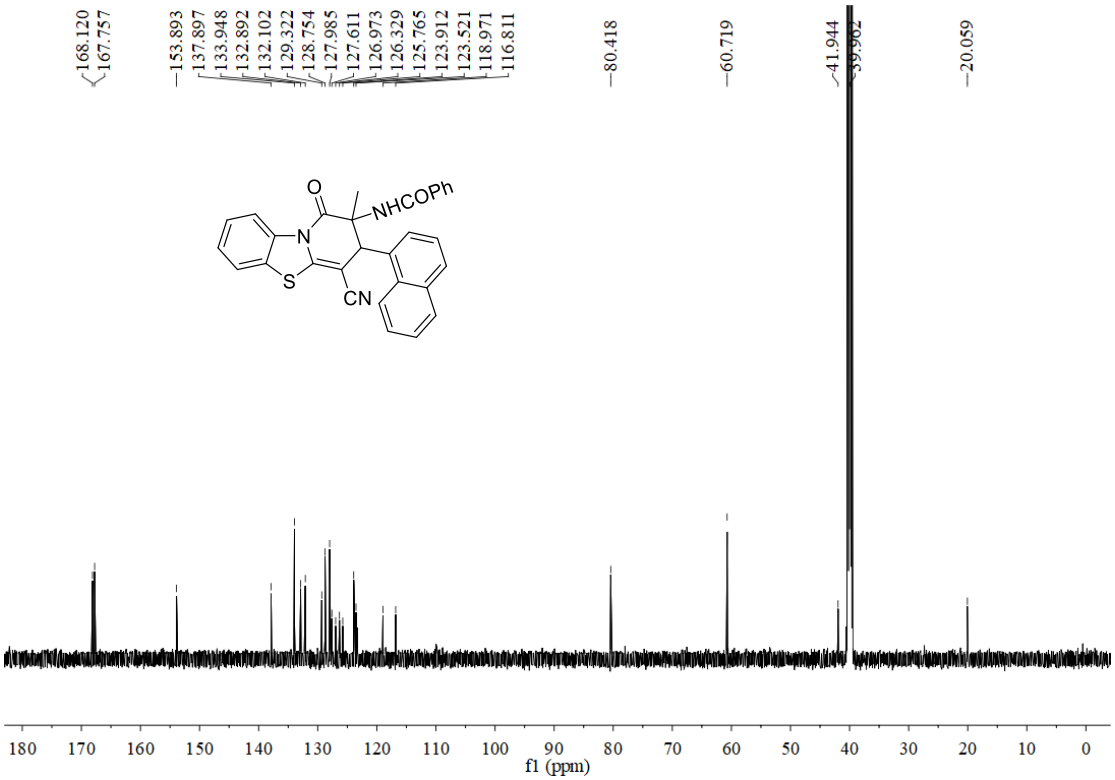
^{13}C NMR Spectrum of **3y**



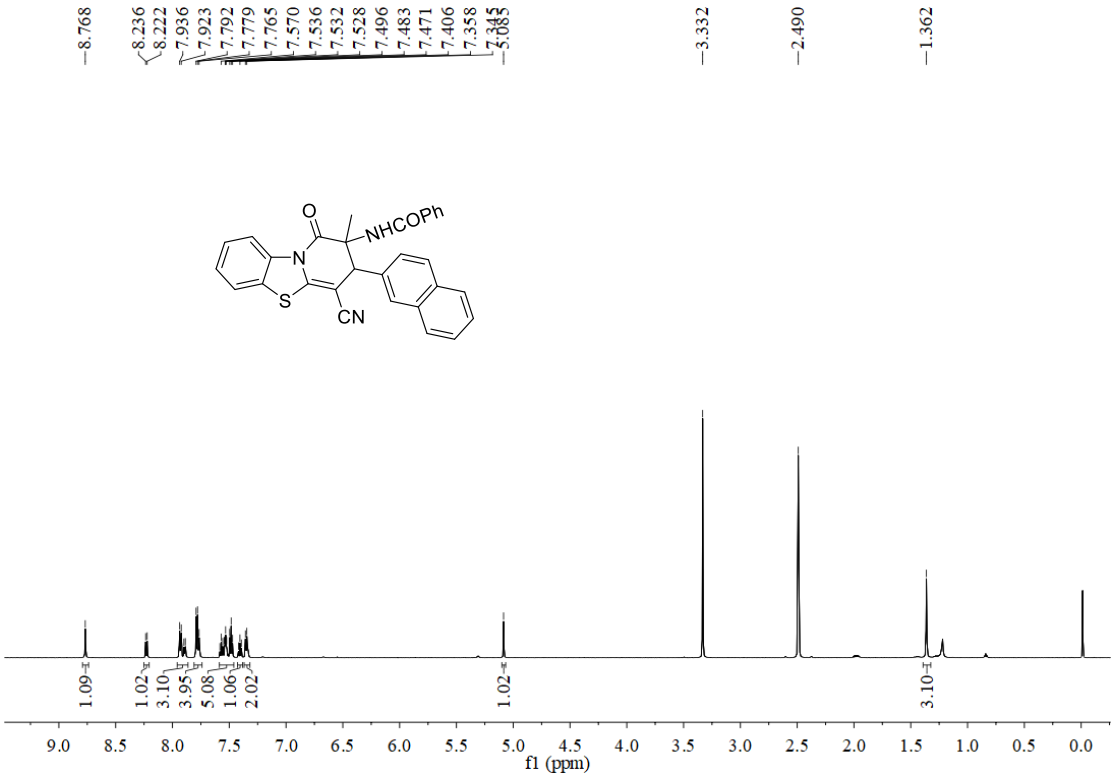
^{1}H NMR Spectrum of **3z**



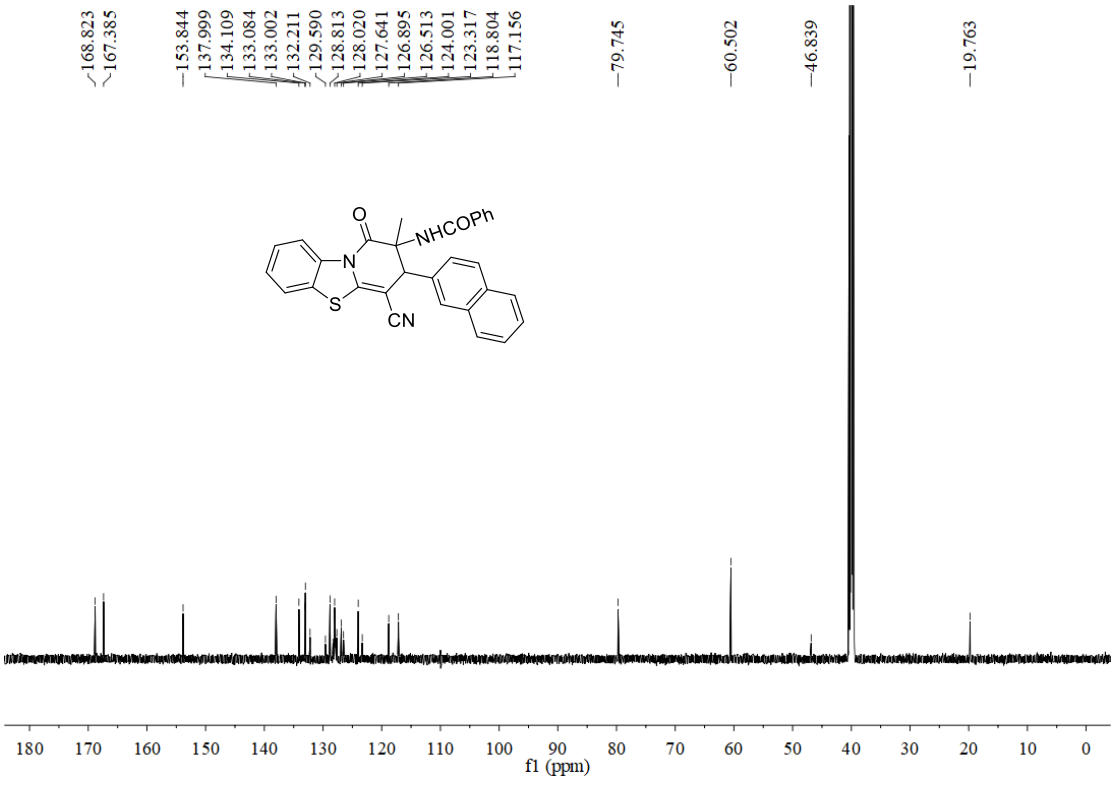
^{13}C NMR Spectrum of **3z**



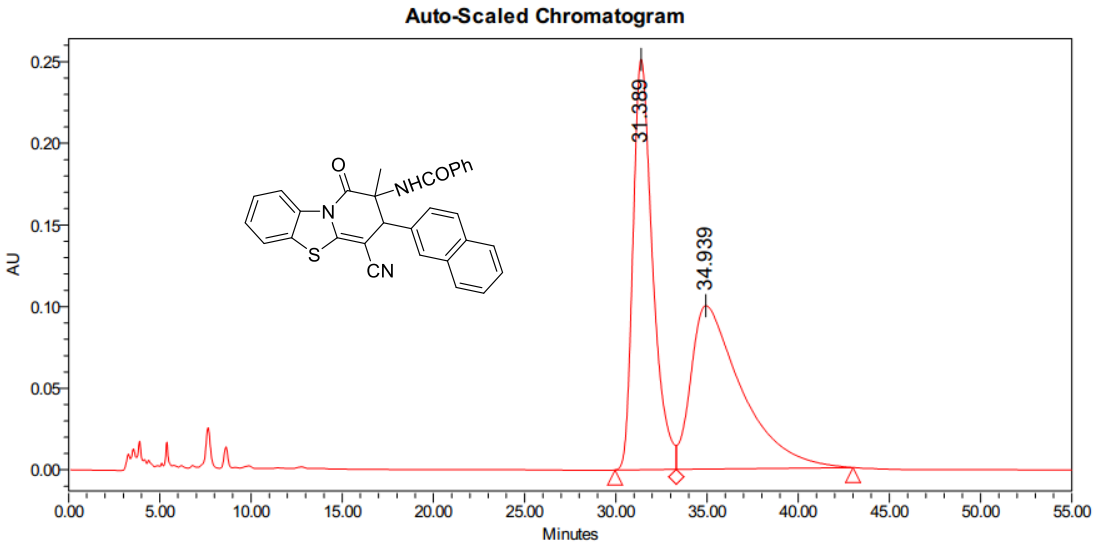
^{1}H NMR Spectrum of **3aa**



^{13}C NMR Spectrum of **3aa**



HPLC chromatogram of **3aa**



Peak Results

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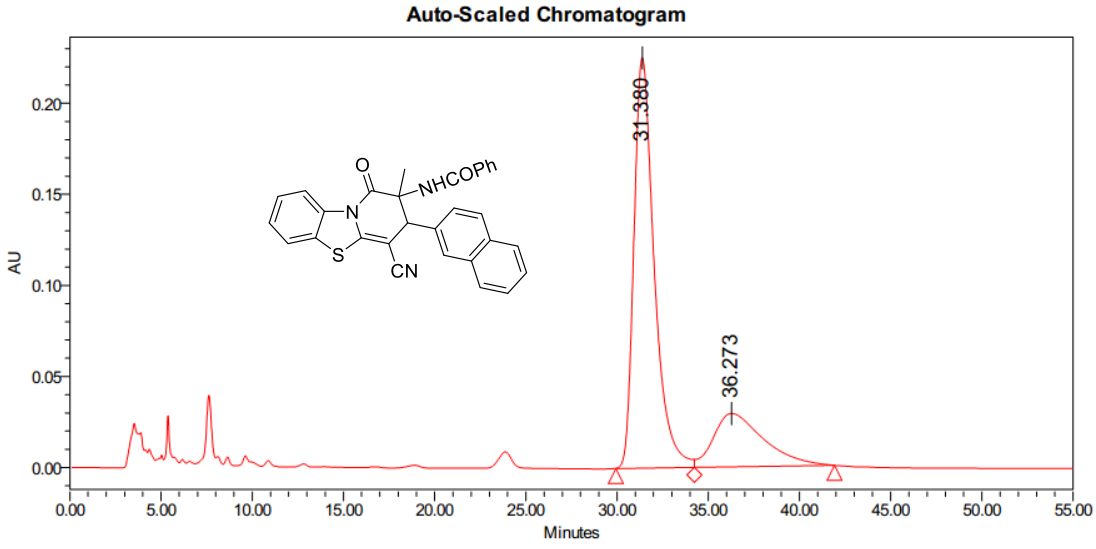
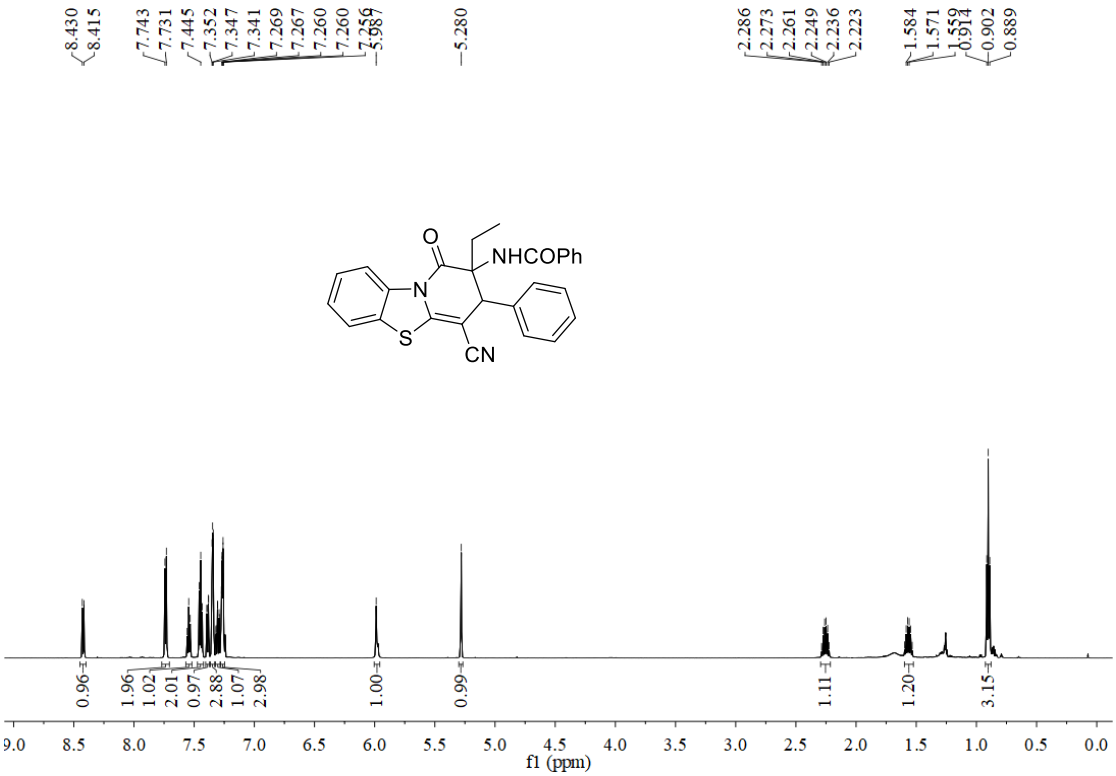
1		31.389	18814880	251348	49.27
2		34.939	19370206	100081	50.73



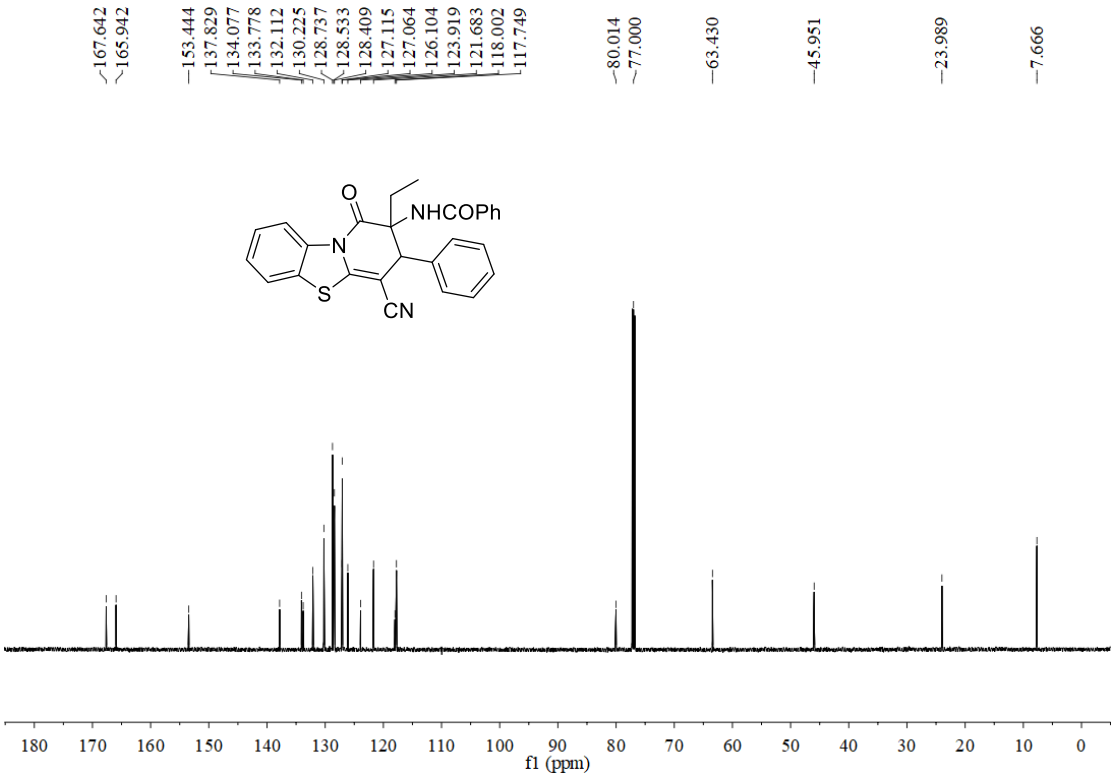
Peak Results

	Name	RT	Area	Height	% Area
1		31.380	17459849	225266	75.73
2		36.273	5595564	29287	24.27

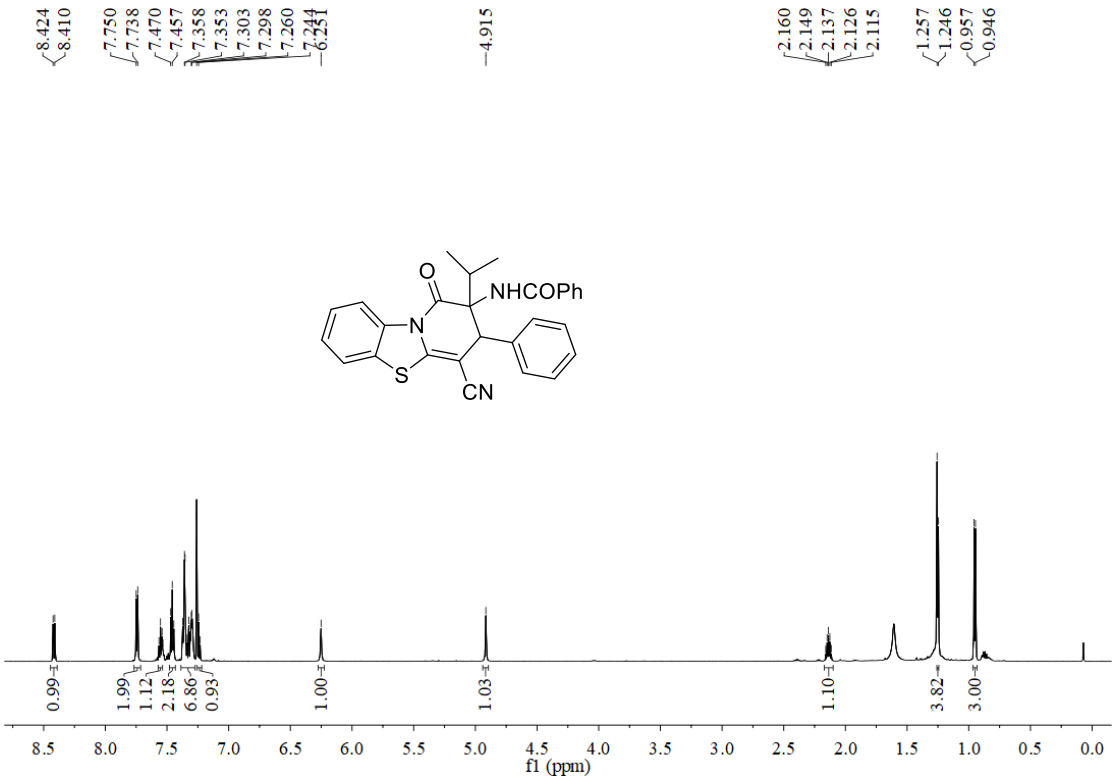
^{1}H NMR Spectrum of **3ab**



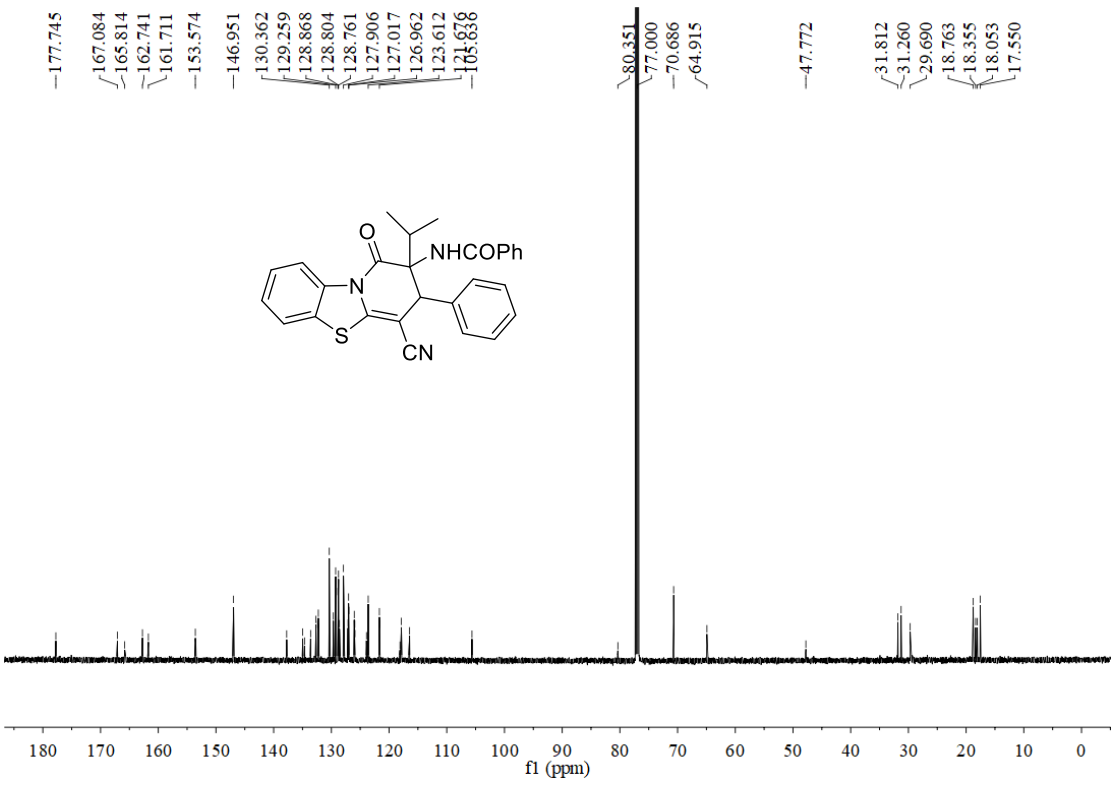
^{13}C NMR Spectrum of **3ab**



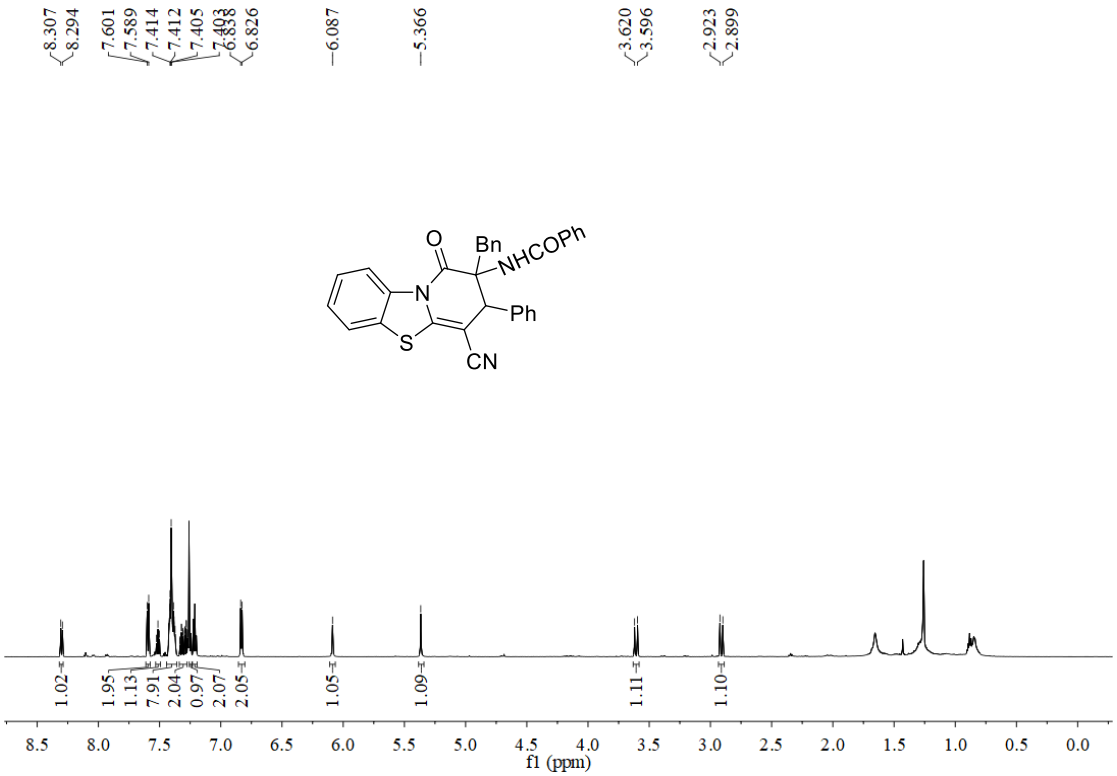
^{1}H NMR Spectrum of **3ac**



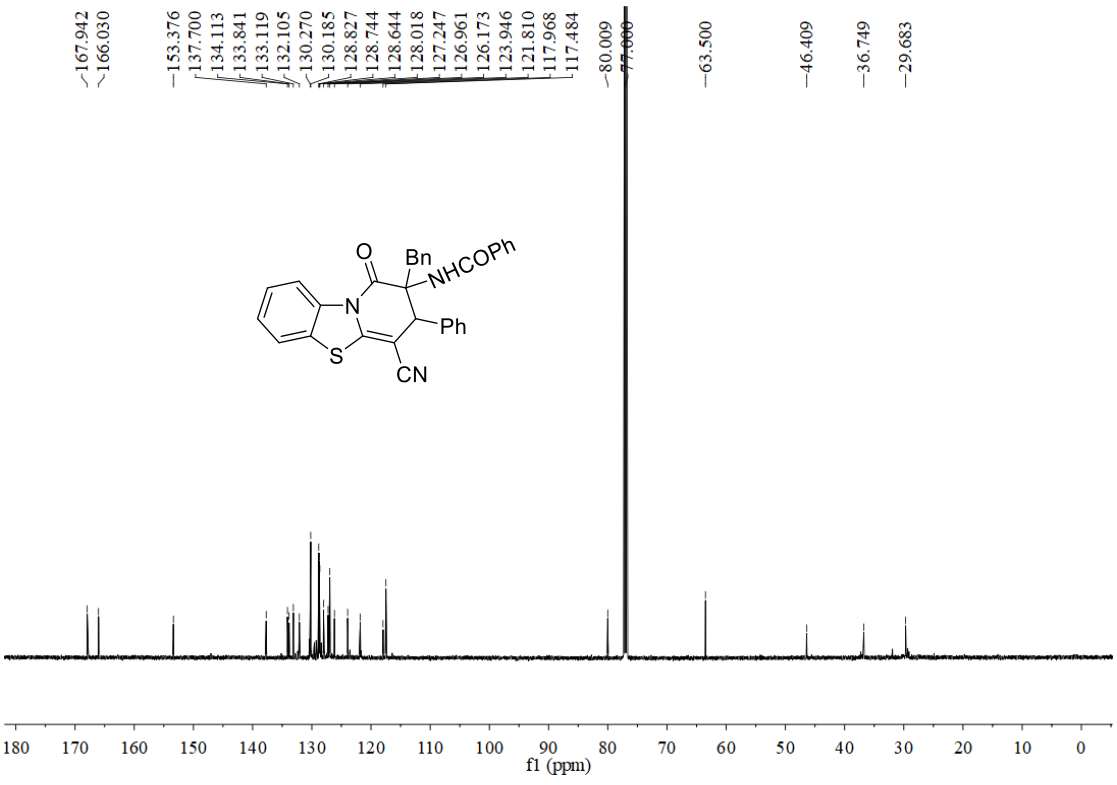
^{13}C NMR Spectrum of **3ac**



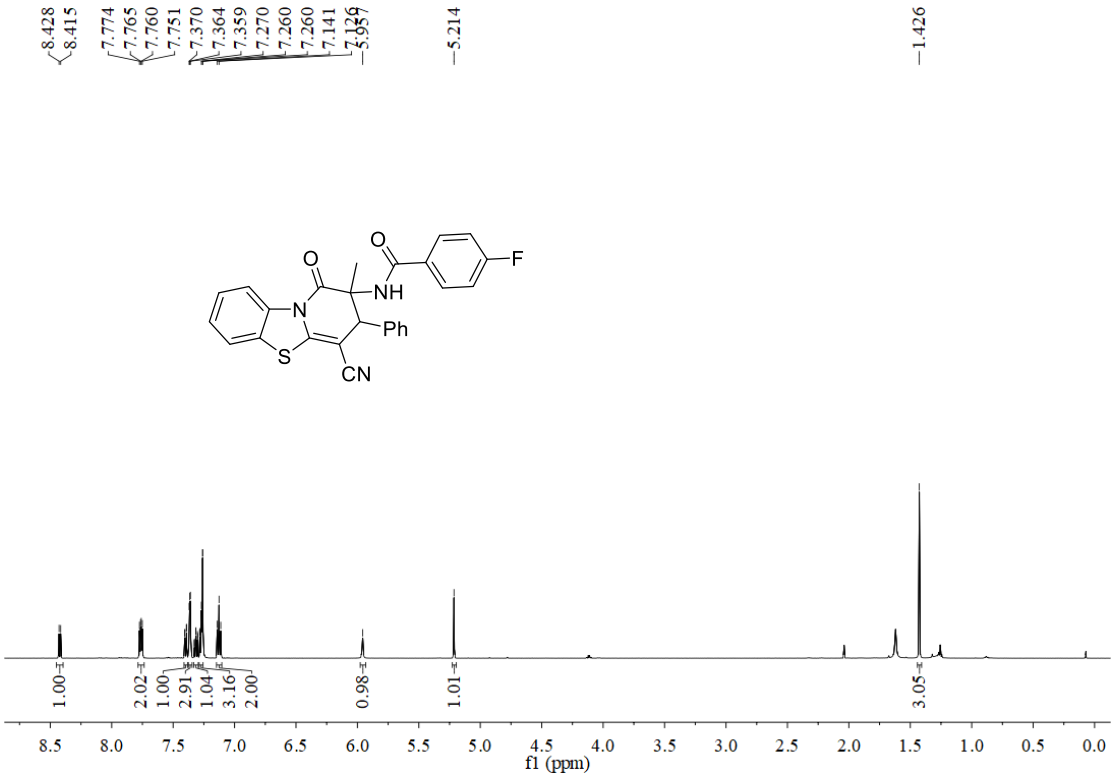
^{1}H NMR Spectrum of **3ad**



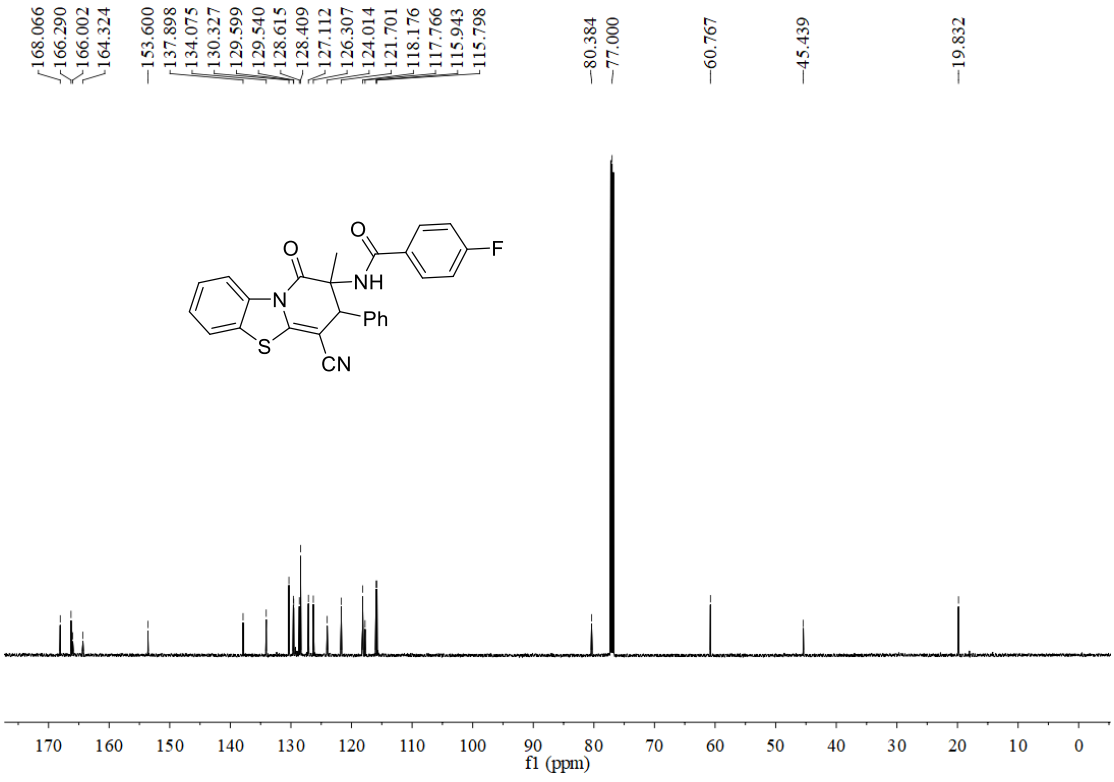
^{13}C NMR Spectrum of **3ad**



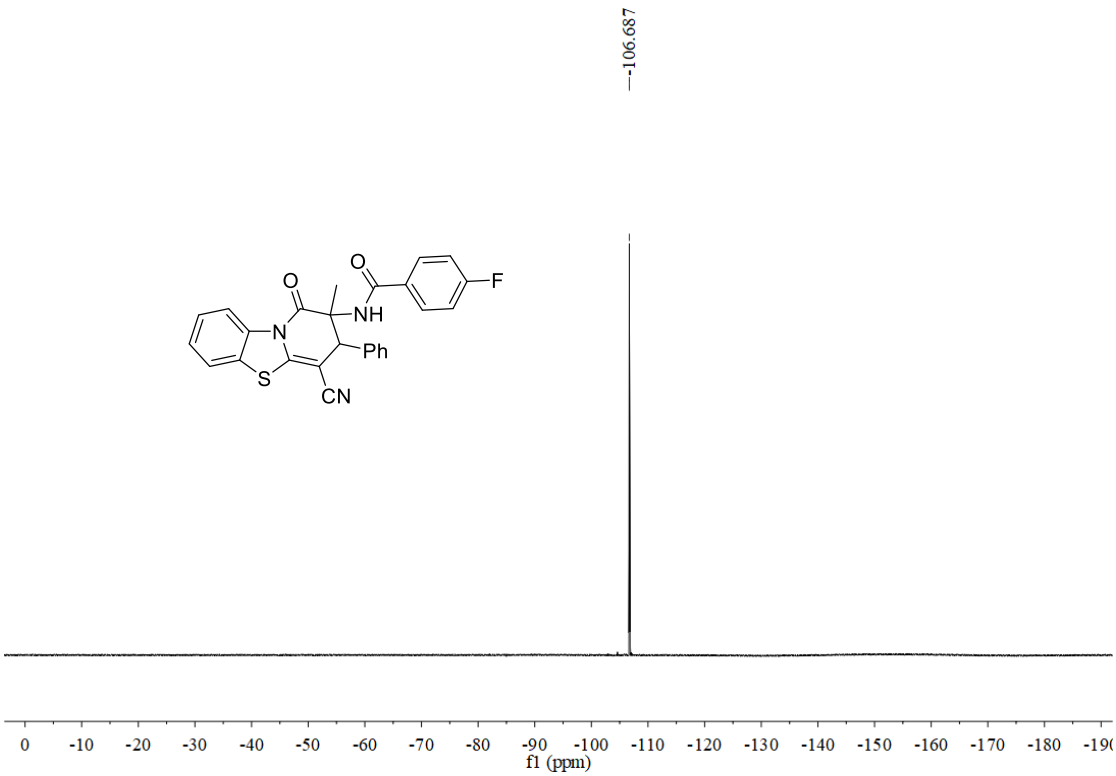
^{1}H NMR Spectrum of **3ae**



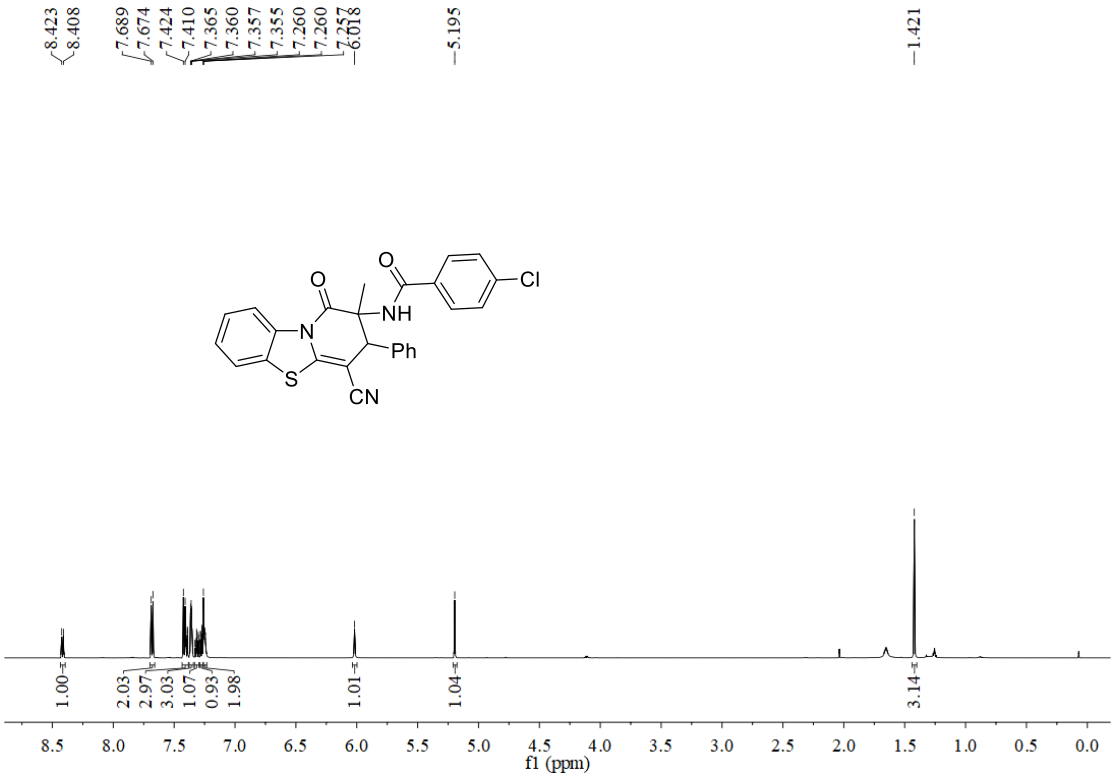
^{13}C NMR Spectrum of **3ae**



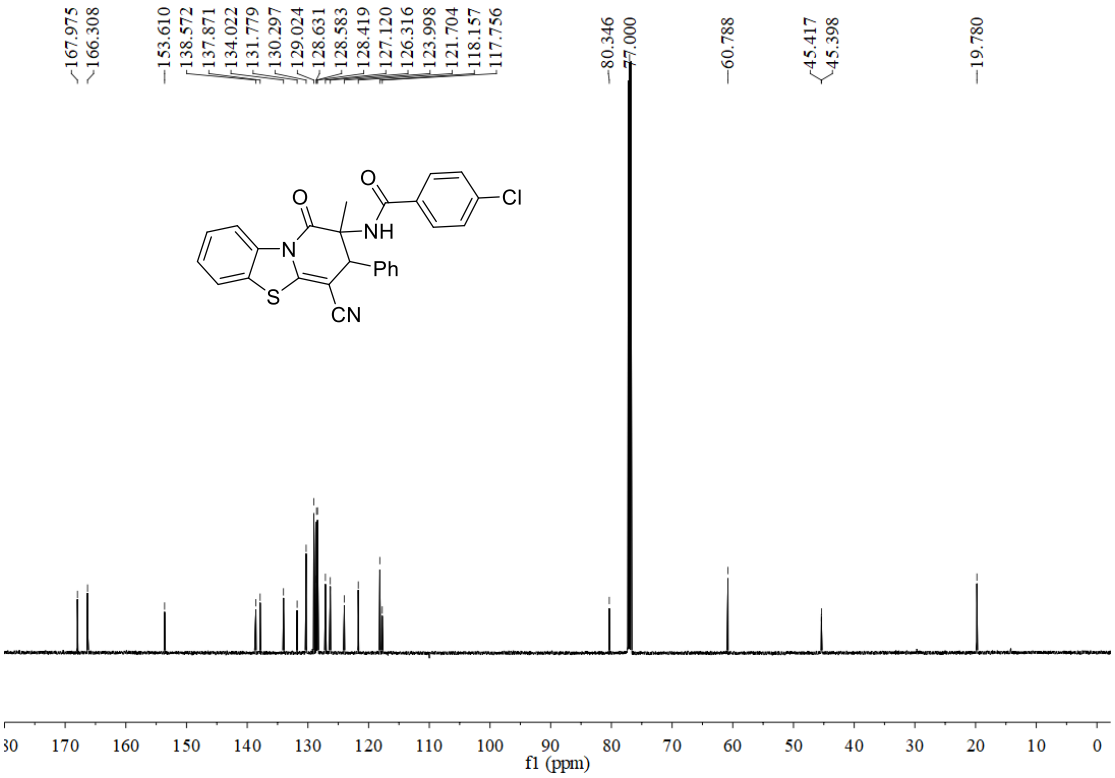
^{19}F NMR Spectrum of **3ae**



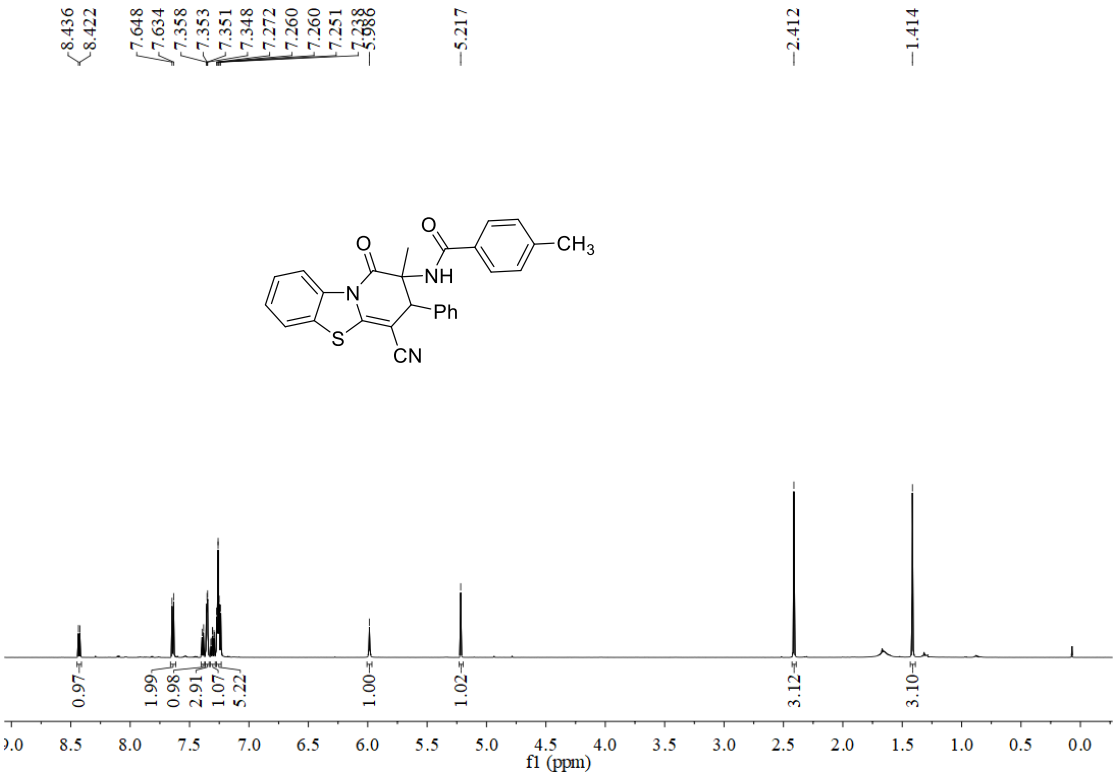
^{1}H NMR Spectrum of **3af**



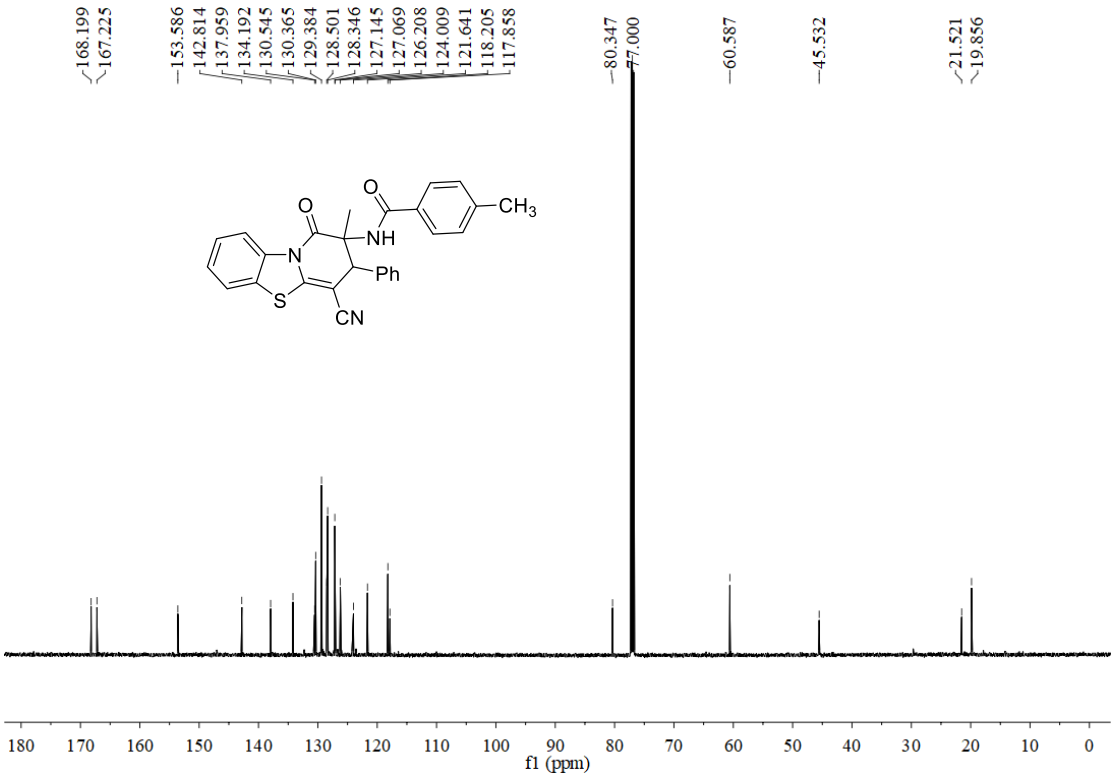
^{13}C NMR Spectrum of **3af**



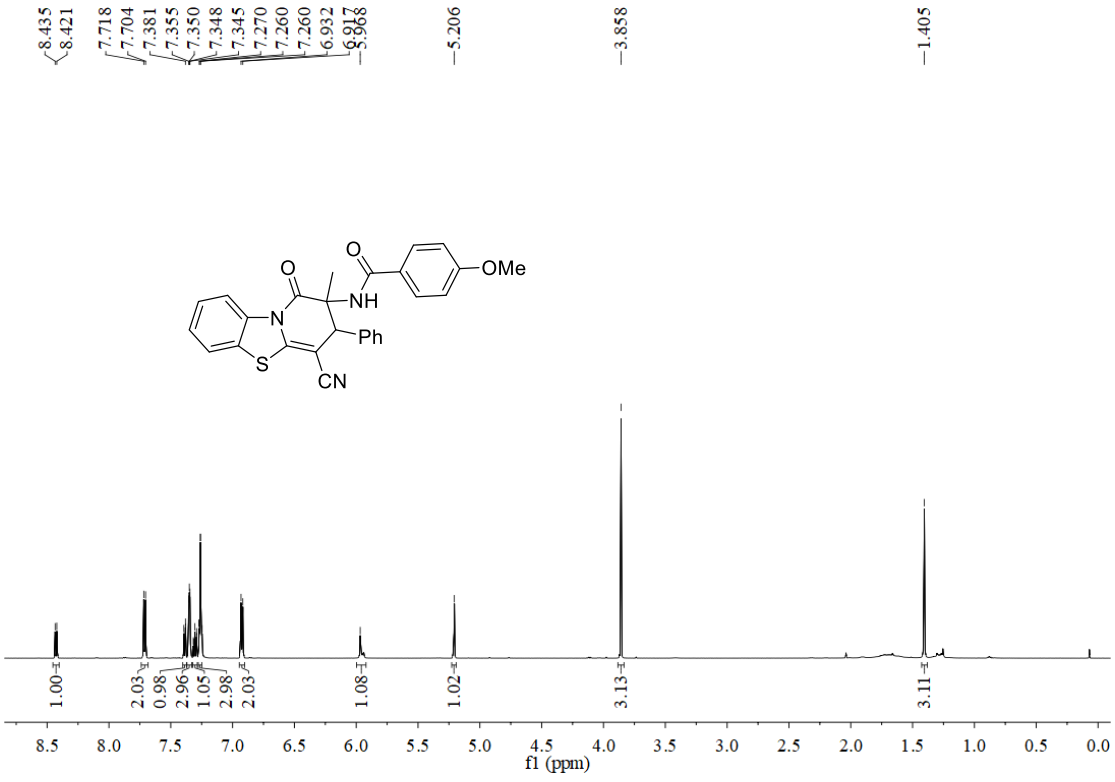
^{1}H NMR Spectrum of **3ag**



^{13}C NMR Spectrum of **3ag**



^{1}H NMR Spectrum of **3ah**



^{13}C NMR Spectrum of **3ah**