

Supporting Information

Chemodivergent Synthesis of N-(Pyridin-2-yl)amides and 3-Bromoimidazo[1,2-a]pyridines from α -Bromoketones and 2-Aminopyridines

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General Information

All chemicals were of chemical pure grade quality and used without further purification, ethyl acetate and toluene was analytical pure grade quality and without further drying, *tert*-butyl hydroperoxide (TBHP) was 70% aqueous solution purchased from Sinopharm Chemical Reagent Co.,Ltd. Reactions were monitored by TLC (Merck silica gel 60 F₂₅₄), column chromatography was performed on silica gel 200~300 mesh. All ¹H NMR (300 MHz), ¹³C{¹H} NMR (75 MHz) spectra were recorded on a Bruker Avance 300 spectrometer in CDCl₃ with tetramethylsilane as an internal standard and reported in parts per million (ppm, δ). Low- and high-resolution mass spectrums (LRMS and HRMS) were measured on Finnigan MAT 95 spectrometer (Finnigan, Germany).

Experimental Section

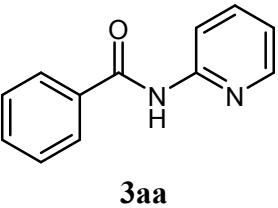
General Procedure for the Synthesis of *N*-(pyridin-2-yl)amides

To a stirred solution of α -bromoketone **1** (0.3 mmol, 1.0 equivalent), 2-aminopyridine **2** (0.45 mmol, 1.5 equivalents) and I₂ (0.06 mmol, 0.2 equivalents) in toluene, was added *tert*-butyl hydroperoxide (TBHP) (1.2 mmol, 4 equivalents). The solution was reflux at 100 °C for 2 h and monitored by thin layer chromatography. The reaction mixture was cooled to room temperature and added saturated sodium thiosulfate, then the organic layer was separated and extracted with ethyl acetate for 3 times. The combined organic layer was dried over anhydrous Na₂SO₄ and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel (petroleum ether/ethyl acetate as the eluent, typically 100:5-100:10) to furnish the *N*-(pyridin-2-yl)amides (**3**).

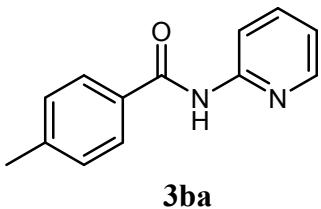
General Procedure for the Synthesis of 3-Bromo-imidazo[1,2-a]pyridines

To a stirred solution of α -bromoketone **1** (0.3 mmol, 1.0 equivalent) and 2-aminopyridine **2** (0.45 mmol, 1.5 equivalents) in ethyl acetate, was added *tert*-butyl hydroperoxide (TBHP) (0.6 mmol, 2 equivalents). The solution was reflux at 90 °C for 3 h and monitored by thin layer chromatography. The reaction mixture was cooled to room temperature and added saturated sodium thiosulfate, then the organic layer was separated and extracted with ethyl acetate for 3 times. The combined organic layer was dried over anhydrous Na₂SO₄ and concentrated under reduced pressure. The residue was purified by column chromatography on silica gel (petroleum ether/ethyl acetate as the eluent, typically 100:5-100:10) to furnish the 3-bromo-imidazo[1,2-a]pyridines (**4**).

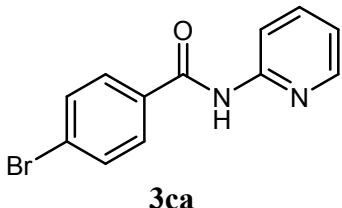
Spectral and Analytical Data



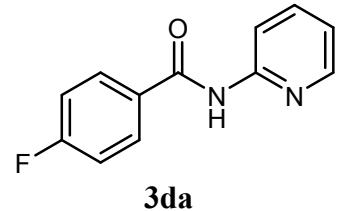
N-(Pyridin-2-yl)benzamide (3aa). White solid; m.p. 85-87 °C; 52.3 mg, yield: 88%; ¹H NMR (300 MHz, CDCl₃): δ 8.83 (s, 1H), 8.28 (d, *J* = 8.4 Hz, 1H), 8.09 (d, *J* = 4.9 Hz, 1H), 7.81 (d, *J* = 7.4 Hz, 2H), 7.63 (t, *J* = 7.9 Hz, 1H), 7.47-7.42 (m, 1H), 7.39-7.34 (m, 2H), 6.93 (t, *J* = 6.2 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 166.0, 151.8, 148.0, 138.6, 134.4, 132.3, 128.9, 127.4, 120.0, 114.4; HR-MS (ESI-TOF) m/z: calcd for C₁₂H₁₁N₂O [M+H]⁺ 199.0866, found 199.0861.



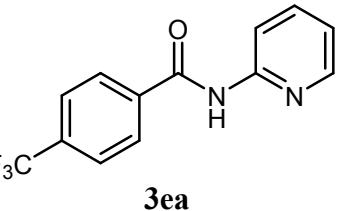
4-Methyl-N-(pyridin-2-yl)benzamide (3ba). White solid; m.p. 94-96 °C; 59.2 mg, yield: 93%; ¹H NMR (300 MHz, CDCl₃): δ 8.79 (s, 1H), 8.41 (d, *J* = 8.4 Hz, 1H), 8.28-8.26 (m, 1H), 7.86-7.82 (m, 2H), 7.80-7.74 (m, 1H), 7.32-7.27 (m, 2H), 7.09-7.05 (m, 1H), 2.44 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 165.8, 151.8, 147.9, 143.0, 138.6, 131.5, 129.6, 127.4, 119.9, 114.3, 21.7; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₃N₂O [M+H]⁺ 213.1022, found 213.1018.



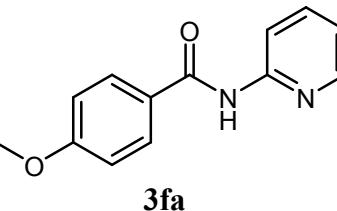
4-Bromo-N-(pyridin-2-yl)benzamide (3ca). White solid; m.p. 133-136 °C; 75.7 mg, yield: 91%; ¹H NMR (300 MHz, CDCl₃): δ 8.82 (s, 1H), 8.36 (d, *J* = 8.4 Hz, 1H), 8.24-8.22 (m, 1H), 7.82-7.73 (m, 3H), 7.65-7.61 (m, 2H), 7.09-7.05 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 164.9, 151.5, 148.0, 138.7, 133.3, 132.2, 129.0, 127.2, 120.3, 114.4; HR-MS (ESI-TOF) m/z: calcd for C₁₂H₁₀BrN₂O [M+H]⁺ 276.9971, found 276.9976.



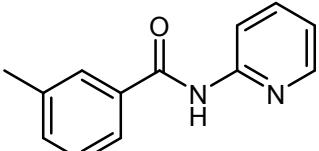
4-Fluoro-N-(pyridin-2-yl)benzamide (3da). White solid; m.p. 126-128 °C; 51.2 mg, yield: 79%; ¹H NMR (300 MHz, CDCl₃): δ 9.34 (s, 1H), 8.37 (d, *J* = 8.4 Hz, 1H), 8.10 (d, *J* = 4.8 Hz, 1H), 7.96-7.92 (m, 2H), 7.76-7.70 (m, 1H), 7.12 (t, *J* = 8.6 Hz, 2H), 7.02 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 165.2 (d, *J* = 251.3 Hz), 165.1, 151.8, 147.8, 138.7, 130.7 (d, *J* = 3.2 Hz), 129.9 (d, *J* = 9.2 Hz), 120.1, 115.9 (d, *J* = 22.0 Hz), 114.6; HR-MS (ESI-TOF) m/z: calcd for C₁₂H₁₀FN₂O [M+H]⁺ 217.0772, found 217.0778.



N-(Pyridin-2-yl)-4-(trifluoromethyl)benzamide (3ea). White solid; m.p. 137-38 °C; 71.1 mg, yield: 89%; ¹H NMR (300 MHz, CDCl₃): δ 9.46 (s, 1H), 8.39 (d, *J* = 8.4 Hz, 1H), 8.11-8.09 (m, 1H), 8.04 (d, *J* = 8.1 Hz, 2H), 7.78-7.70 (m, 3H), 7.04 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 164.9, 151.6, 147.8, 138.9, 137.8, 133.9 (q, *J* = 32.8 Hz), 128.0, 128.0 (q, *J* = 368.25 Hz), 125.9 (q, *J* = 3.7 Hz), 120.4, 114.7; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₀F₃N₂O [M+H]⁺ 267.0740, found 267.0743.

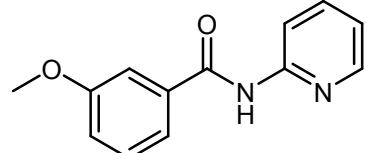


4-Methoxy-N-(pyridin-2-yl)benzamide (3fa). White solid; m.p. 104-106 °C; 61.6 mg, yield: 90%; ¹H NMR (300 MHz, CDCl₃): δ 9.07 (s, 1H), 8.39 (d, *J* = 8.4 Hz, 1H), 8.20 (d, *J* = 4.4 Hz, 1H), 7.92 (d, *J* = 8.9 Hz, 2H), 7.77-7.71 (m, 1H), 7.05-7.01 (m, 1H), 6.96 (d, *J* = 8.9 Hz, 2H), 3.86 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 165.5, 162.9, 152.0, 147.8, 138.6, 129.4, 126.5, 119.7, 114.4, 114.1, 55.6; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₃N₂O₂ [M+H]⁺ 229.0972, found 229.0975.



3ga

3-Methyl-N-(pyridin-2-yl)benzamide (3ga). White solid; m.p. 82-84°C; 49.0 mg, yield: 77%; ¹H NMR (300 MHz, CDCl₃): δ 9.06 (s, 1H), 8.41 (d, *J* = 8.5 Hz, 1H), 8.18 (d, *J* = 4.7 Hz, 1H), 7.78-7.70 (m, 3H), 7.36 (d, *J* = 4.5 Hz, 2H), 7.06-7.01 (m, 1H), 2.40 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 166.2, 151.9, 147.9, 138.8, 138.6, 134.4, 133.0, 128.8, 128.1, 124.4, 119.9, 114.4, 21.4; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₃N₂O [M+H]⁺ 213.1022, found 213.1026.



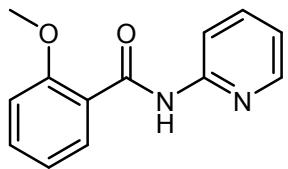
3ha

3-Methoxy-N-(pyridin-2-yl)benzamide (3ha). White semisolid; 54.8 mg, yield: 80%; ¹H NMR (300 MHz, CDCl₃): δ 9.63 (s, 1H), 8.41-8.36 (m, 1H), 8.03-8.01 (m, 1H), 7.72-7.66 (m, 1H), 7.47-7.43 (m, 2H), 7.32-7.27 (m, 1H), 7.06-6.94 (m, 2H), 3.77 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 166.1, 159.8, 151.9, 147.7, 138.4, 135.8, 129.7, 119.8, 119.3, 118.4, 114.5, 112.5, 55.3; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₃N₂O₂ [M+H]⁺ 229.0972, found 229.0974.



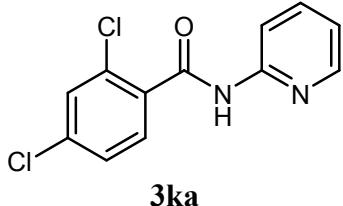
3ia

2-Methyl-N-(pyridin-2-yl)benzamide (3ia). White solid; m.p. 89-92°C; 50.9 mg, yield: 80%; ¹H NMR (300 MHz, CDCl₃): δ 9.17 (s, 1H), 8.27 (d, *J* = 8.3 Hz, 1H), 7.65-7.58 (m, 2H), 7.41-7.37 (m, 1H), 7.28-7.22 (m, 1H), 7.15-7.09 (m, 2H), 6.85-6.80 (m, 1H), 2.39 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 168.7, 151.9, 147.8, 138.6, 136.6, 136.2, 131.4, 130.6, 127.1, 126.1, 119.9, 114.3, 20.0; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₃N₂O [M+H]⁺ 213.1022, found 213.1024.



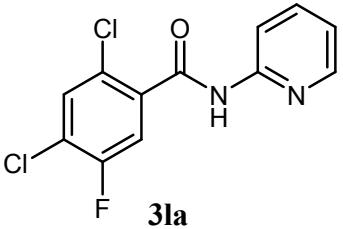
3ja

2-Methoxy-N-(pyridin-2-yl)benzamide (3ja). White solid; m.p. 81-83 °C; 60.3 mg, yield: 88%; ¹H NMR (300 MHz, CDCl₃): δ 10.36 (s, 1H), 8.44 (d, *J* = 8.4 Hz, 1H), 8.33 (d, *J* = 3.3 Hz, 1H), 8.27 (dd, *J*₁ = 7.9 Hz, *J*₂ = 1.9 Hz, 1H), 7.77-7.71 (m, 1H), 7.55-7.49 (m, 1H), 7.13 (t, *J* = 7.6 Hz, 1H), 7.06 (m, 1H), 7.03 (s, 1H), 4.09 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 163.8, 157.7, 152.1, 148.0, 138.4, 133.8, 132.6, 121.6, 121.5, 119.8, 114.9, 111.7, 56.3; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₃N₂O₂ [M+H]⁺ 229.0972, found 229.0967.



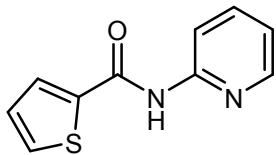
3ka

2,4-Dichloro-N-(pyridin-2-yl)benzamide (3ka). White solid; m.p. 123-125 °C; 63.3 mg, yield: 79%; ¹H NMR (300 MHz, CDCl₃): δ 10.18 (s, 1H), 8.39-8.35 (m, 1H), 7.78-7.67 (m, 2H), 7.60-7.56 (m, 1H), 7.40 (s, 1H), 7.33-7.29 (m, 1H), 6.99-6.93 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 164.6, 151.5, 147.3, 138.8, 137.2, 134.0, 132.1, 130.7, 130.2, 127.6, 120.2, 114.8; HR-MS (ESI-TOF) m/z: calcd for C₁₂H₉Cl₂N₂O [M+H]⁺ 267.0086, found 267.0092.



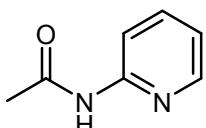
3la

2,4-Dichloro-5-fluoro-N-(pyridin-2-yl)benzamide (3la). White solid; m.p. 100-102 °C; 65.0 mg, yield: 76%; ¹H NMR (300 MHz, CDCl₃): δ 9.77 (s, 1H), 8.32 (d, *J* = 8.4 Hz, 1H), 7.92 (d, *J* = 4.9 Hz, 1H), 7.79-7.73 (m, 1H), 7.52-7.45 (m, 2H), 7.02 (t, *J* = 6.2 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 163.1, 156.9 (d, *J* = 252.4 Hz), 151.3, 147.5, 138.9, 135.1 (d, *J* = 5.9 Hz), 132.1, 126.5 (d, *J* = 4.1 Hz), 124.5 (d, *J* = 19.3 Hz), 120.5, 117.9 (d, *J* = 24.1 Hz), 114.9; HR-MS (ESI-TOF) m/z: calcd for C₁₂H₈Cl₂FN₂O [M+H]⁺ 284.9992, found 284.9997.



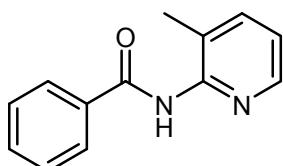
3ma

N-(Pyridin-2-yl)thiophene-2-carboxamide (3ma). White solid; m.p. 125-127 °C; 42.9 mg, yield: 70%; ¹H NMR (300 MHz, CDCl₃): δ 9.05 (s, 1H), 8.34-8.21 (m, 2H), 7.74-7.66 (m, 2H), 7.57-7.55 (m, 1H), 7.12-7.01 (m, 2H); ¹³C NMR (75 MHz, CDCl₃): δ 160.3, 151.5, 147.9, 139.1, 138.6, 131.7, 129.1, 128.0, 120.0, 114.6; HR-MS (ESI-TOF) m/z: calcd for C₁₀H₉N₂OS [M+H]⁺ 205.0430, found 205.0432.



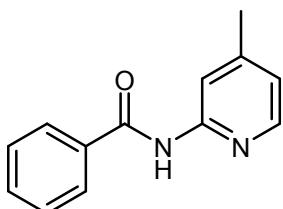
3na

N-(Pyridin-2-yl)acetamide (3na). White solid; m.p. 56-59 °C; 35.5 mg, yield: 87%; ¹H NMR (300 MHz, CDCl₃): δ 9.31 (s, 1H), 8.27-8.20 (m, 2H), 7.74-7.67 (m, 1H), 7.06-7.00 (m, 1H), 2.19 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 169.1, 151.9, 147.6, 138.7, 119.8, 114.6, 24.7; HR-MS (ESI-TOF) m/z: calcd for C₇H₉N₂O [M+H]⁺ 137.0709, found 137.0711.

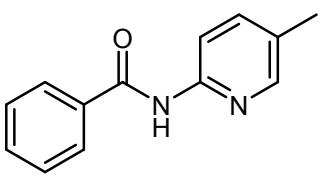


3ab

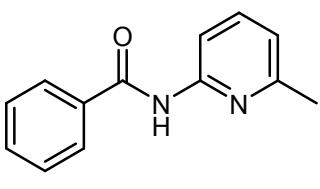
N-(3-Methylpyridin-2-yl)benzamide (3ab). Yellow semisolid; 35.7 mg, yield: 56%; ¹H NMR (300 MHz, CDCl₃): δ 8.98 (s, 1H), 8.21 (d, *J* = 4.4 Hz, 1H), 7.95-7.93 (m, 2H), 7.61 (d, *J* = 7.5 Hz, 1H), 7.56-7.51 (m, 1H), 7.47-7.42 (m, 2H), 7.15-7.10 (m, 1H), 2.32 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 166.4, 150.1, 145.4, 140.1, 134.1, 132.0, 129.7, 128.6, 127.8, 121.9, 18.4; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₃N₂O [M+H]⁺ 213.1022, found 213.1022.



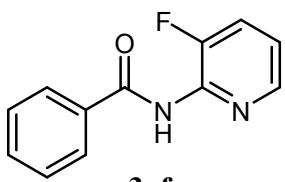
N-(4-Methylpyridin-2-yl)benzamide (3ac). White semisolid; 42.0 mg, yield: 66%; ^1H NMR (300 MHz, CDCl_3): δ 8.96 (s, 1H), 8.25 (s, 1H), 8.03 (d, $J = 5.3$ Hz, 1H), 7.94-7.90 (m, 2H), 7.59-7.44 (m, 3H), 6.87 (d, $J = 5.0$ Hz, 1H), 2.39 (s, 1H); ^{13}C NMR (75 MHz, CDCl_3): δ 166.0, 151.8, 150.1, 147.6, 134.6, 132.3, 128.9, 127.4, 121.2, 114.9, 21.6; HR-MS (ESI-TOF) m/z: calcd for $\text{C}_{13}\text{H}_{13}\text{N}_2\text{O} [\text{M}+\text{H}]^+$ 213.1022, found 213.1026.



N-(5-Methylpyridin-2-yl)benzamide (3ad). White solid; m.p. 121-123 °C; 55.4 mg, yield: 87%; ^1H NMR (300 MHz, CDCl_3): δ 8.84 (s, 1H), 8.30-8.25 (m, 1H), 8.02 (s, 1H), 7.93-7.87 (m, 2H), 7.58-7.45 (m, 4H), 2.28 (s, 3H); ^{13}C NMR (75 MHz, CDCl_3): δ 165.8, 149.6, 147.9, 139.2, 134.6, 132.2, 129.4, 128.9, 127.3, 113.8, 17.9; HR-MS (ESI-TOF) m/z: calcd for $\text{C}_{13}\text{H}_{13}\text{N}_2\text{O} [\text{M}+\text{H}]^+$ 213.1022, found 213.1019.

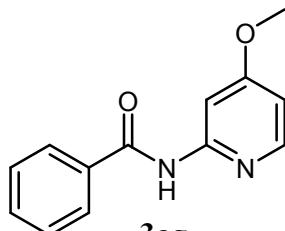


N-(6-Methylpyridin-2-yl)benzamide (3ae). White solid; m.p. 108-110 °C; 17.2 mg, yield 27%; ^1H NMR (300 MHz, CDCl_3): δ 8.66 (s, 1H), 8.19 (d, $J = 8.2$ Hz, 1H), 7.93-7.90 (m, 2H), 7.64 (t, $J = 8.0$ Hz, 1H), 7.58-7.52 (m, 1H), 7.50-7.44 (m, 2H), 6.92 (d, $J = 7.5$ Hz, 1H), 2.44 (s, 3H); ^{13}C NMR (75 MHz, CDCl_3): δ 165.8, 157.0, 151.0, 138.9, 134.5, 132.3, 128.9, 127.3, 119.6, 111.1, 24.1; HR-MS (ESI-TOF) m/z: calcd for $\text{C}_{13}\text{H}_{13}\text{N}_2\text{O} [\text{M}+\text{H}]^+$ 213.1022, found 213.1024.



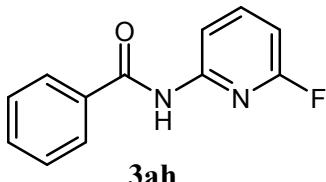
3af

N-(3-Fluoropyridin-2-yl)benzamide (3af). White solid; m.p. 91-94 °C; 51.2 mg, yield: 79%; ¹H NMR (300 MHz, CDCl₃): δ 8.55 (s, 1H), 8.24-8.22 (m, 1H), 7.97-7.93 (m, 2H), 7.60-7.45 (m, 4H), 7.22-7.16 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 165.5, 151.8 (d, *J* = 261.2 Hz), 143.8 (d, *J* = 5.6 Hz), 140.4 (d, *J* = 12.2 Hz), 133.7, 132.5, 128.9, 127.8, 124.8 (d, *J* = 17.9 Hz), 122.2 (d, *J* = 3.4 Hz); HR-MS (ESI-TOF) m/z: calcd for C₁₂H₁₀FN₂O [M+H]⁺ 217.0772, found 217.0774.



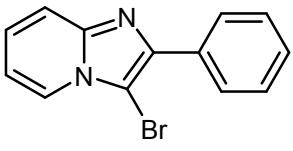
3ag

N-(4-Methoxypyridin-2-yl)benzamide (3ag). White semisolid; 51.4 mg, yield: 75%; ¹H NMR (300 MHz, CDCl₃): δ 9.89 (s, 1H), 8.08-8.06 (m, 1H), 7.93-7.89 (m, 2H), 7.74-7.69 (m, 1H), 7.55-7.39 (m, 3H), 6.52-6.48 (m, 1H), 3.86 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 167.5, 166.6, 153.7, 148.3, 134.6, 132.1, 128.7, 127.5, 107.7, 99.1, 55.4; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₃N₂O₂ [M+H]⁺ 229.0972, found 229.0978.



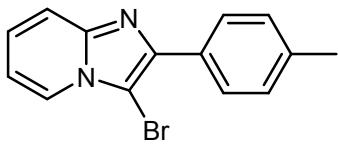
3ah

N-(6-Fluoropyridin-2-yl)benzamide (3ah). White semisolid; 16.2 mg, yield: 25%; ¹H NMR (300 MHz, CDCl₃): δ 8.67 (s, 1H), 8.24 (d, *J* = 7.7 Hz, 1H), 7.88-7.75 (m, 3H), 7.55-7.41 (m, 3H), 6.66-6.63 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 165.8, 161.9 (d, *J* = 240.6 Hz), 149.9 (d, *J* = 14.2 Hz), 143.4 (d, *J* = 7.8 Hz), 133.8, 132.4, 128.8, 127.3, 110.7 (d, *J* = 4.2 Hz), 104.5 (d, *J* = 35.0 Hz); HR-MS (ESI-TOF) m/z: calcd for C₁₂H₁₀FN₂O [M+H]⁺ 217.0772, found 217.0776.



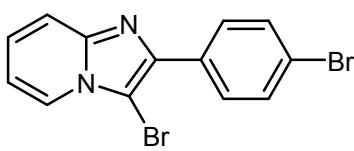
4aa

3-Bromo-2-phenylimidazo[1,2-a]pyridine (4aa). White solid; m.p. 82-84 °C; 75.4 mg, yield: 92%; ¹H NMR (300MHz, CDCl₃): δ 8.18-8.12 (m, 3H), 7.64 (d, *J* = 9.1 Hz, 1H), 7.52-7.46 (m, 2H), 7.42-7.37 (m, 1H), 7.28-7.23 (m, 1H), 6.92 (t, *J* = 6.8 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 145.6, 142.8, 133.0, 128.6, 128.4, 128.0, 125.2, 124.1, 117.7, 113.2, 91.8; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₀BrN₂ [M+H]⁺ 273.0022, found 273.0019.



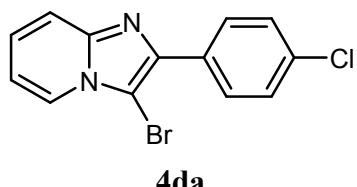
4ba

3-Bromo-2-(p-tolyl)imidazo[1,2-a]pyridine (4ba). White solid; m.p. 119-120 °C; 77.5 mg, yield: 90%; ¹H NMR (300 MHz, CDCl₃): δ 8.15 (d, *J* = 6.7 Hz, 1H), 8.03 (d, *J* = 7.9 Hz, 2H), 7.62 (d, *J* = 9.2 Hz, 1H), 7.29 (d, *J* = 7.9 Hz, 2H), 7.23 (t, *J* = 7.6, 1H), 6.90 (t, *J* = 6.9 Hz, 1H), 2.41 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 145.5, 142.8, 138.3, 130.1, 129.3, 127.8, 125.1, 124.0, 117.6, 113.0, 91.5, 21.5; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂ [M+H]⁺ 287.0178, found 287.0176.



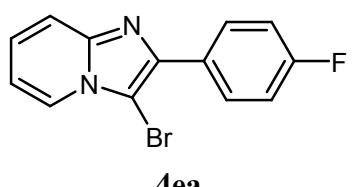
4ca

3-Bromo-2-(4-bromophenyl)imidazo[1,2-a]pyridine (4ca). White solid; m.p. 154-155 °C; 86.6 mg, yield: 82%; ¹H NMR (300 MHz, CDCl₃): δ 8.16-8.13 (m, 1H), 8.04-8.00 (m, 2H), 7.64-7.58 (m, 3H), 7.29-7.23 (m, 1H), 6.96-6.90 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 145.6, 141.6, 131.9, 131.7, 129.4, 125.5, 124.1, 122.6, 117.7, 113.3, 91.9; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₉Br₂N₂ [M+H]⁺ 350.9127, found 350.9133.



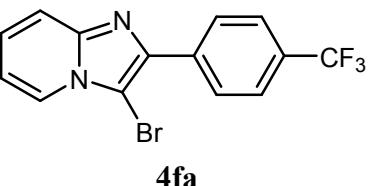
4da

3-Bromo-2-(4-chlorophenyl)imidazo[1,2-a]pyridine (4da). White solid; m.p. 141-143 °C; 79.4 mg, yield: 86%; ¹H NMR (300 MHz, CDCl₃): δ 8.18-8.14 (m, 1H), 8.10-8.05 (m, 2H), 7.62 (dd, *J*₁ = 9.0 Hz, *J*₂ = 1.1 Hz, 1H), 7.47-7.42 (m, 2H), 7.30-7.24 (m, 1H), 6.94 (tt, *J*₁ = 7.1 Hz, *J*₂ = 1.5 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 145.6, 141.7, 134.3, 131.5, 129.2, 128.8, 125.5, 124.1, 117.8, 113.4, 91.9; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₉BrClN₂ [M+H]⁺ 306.9632, found 306.9628.



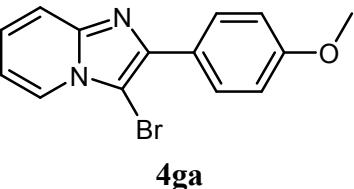
4ea

3-Bromo-2-(4-fluorophenyl)imidazo[1,2-a]pyridine (4ea). White solid; m.p. 117-118 °C; 69.9 mg, yield: 80%; ¹H NMR (300 MHz, CDCl₃): δ 8.17-8.07 (m, 3H), 7.64-7.59 (m, 1H), 7.29-7.22 (m, 1H), 7.21-7.12 (m, 2H), 6.96-6.89 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 162.9 (d, *J* = 247.9 Hz), 145.5, 141.9, 129.8 (d, *J* = 8.0 Hz), 129.1 (d, *J* = 3.3 Hz), 125.3, 124.1, 117.7, 115.6 (d, *J* = 21.5 Hz), 113.2, 91.5; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₉BrFN₂ [M+H]⁺ 290.9928, found 290.9935.

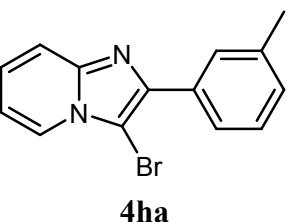


4fa

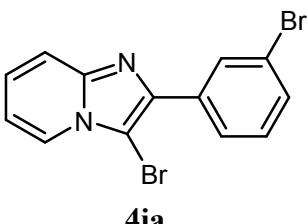
3-Bromo-2-(4-(trifluoromethyl)phenyl)imidazo[1,2-a]pyridine (4fa). White solid; m.p. 125-128 °C; 87.0 mg, yield: 85%; ¹H NMR (300 MHz, CDCl₃): δ 8.27 (d, *J* = 8.1 Hz, 2H), 8.21-8.16 (m, 1H), 7.73 (d, *J* = 8.0 Hz, 2H), 7.67-7.63 (m, 1H), 7.31-7.27 (m, 1H), 7.00-6.93 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 145.7, 141.2, 136.5, 130.1 (q, *J* = 32.3 Hz), 128.1, 125.8, 125.5 (q, *J* = 3.9 Hz), 124.3 (q, *J* = 270.8 Hz), 124.2, 117.9, 113.6, 92.6; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₉BrF₃N₂ [M+H]⁺ 340.9896, found 340.9897.



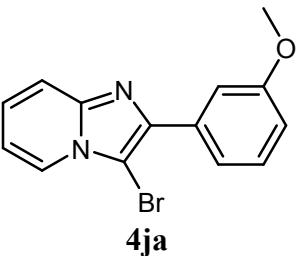
3-Bromo-2-(4-methoxyphenyl)imidazo[1,2-a]pyridine (4ga). White solid; m.p. 105-106 °C; 75.5 mg, yield: 83%; ¹H NMR (300 MHz, CDCl₃): δ 8.15-8.12 (m, 1H), 8.10-8.05 (m, 2H), 7.61 (d, *J* = 9.7 Hz, 1H), 7.26-7.20 (m, 1H), 7.04-6.99 (m, 2H), 6.92-6.87 (m, 1H), 3.86 (s, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 159.8, 145.4, 142.6, 129.3, 125.5, 125.0, 124.0, 117.5, 114.0, 113.0, 91.0, 55.4; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂O (M+H)⁺ 303.0128, found 303.0128.



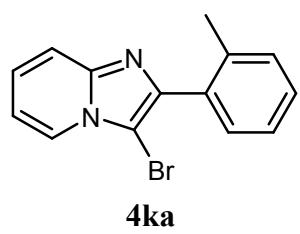
3-Bromo-2-(m-tolyl)imidazo[1,2-a]pyridine (4ha). Yellow solid; m.p. 77-79 °C; 77.5 mg, yield: 90%; ¹H NMR (300 MHz, CDCl₃): δ 8.17 (d, *J* = 6.9 Hz, 1H), 7.95-7.92 (m, 2H), 7.64 (d, *J* = 9.1 Hz, 1H), 7.37 (t, *J* = 7.6 Hz, 1H), 7.28-7.20 (m, 2H), 6.92 (t, *J* = 6.8 Hz, 1H), 2.45 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 145.5, 142.9, 138.3, 132.8, 129.2, 128.7, 128.4, 125.2, 125.0, 124.1, 117.7, 113.1, 91.8, 21.6; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂ [M + H]⁺ 287.0178, found 287.0182.



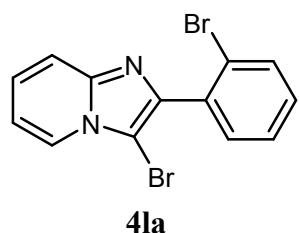
3-Bromo-2-(3-bromophenyl)imidazo[1,2-a]pyridine (4ia). White solid; m.p. 132-135 °C; 89.8 mg, yield: 85%; ¹H NMR (300 MHz, CDCl₃): δ 8.31-8.29 (m, 1H), 8.15-8.12 (m, 1H), 8.09-8.05 (m, 1H), 7.61 (d, *J* = 9.5 Hz, 1H), 7.51-7.48 (m, 1H), 7.33 (t, *J* = 7.8 Hz, 1H), 7.28-7.22 (m, 1H), 6.92 (t, *J* = 6.7 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 145.5, 141.1, 135.0, 131.3, 130.7, 130.0, 126.3, 125.5, 124.1, 122.8, 117.8, 113.4, 92.2; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₉Br₂N₂ [M+H]⁺ 350.9127, found 250.9129.



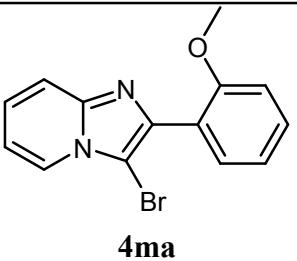
3-Bromo-2-(3-methoxyphenyl)imidazo[1,2-a]pyridine (4ja). Yellow liquid; 69.1 mg, yield: 76%; ¹H NMR (300 MHz, CDCl₃): δ 8.16 (d, *J* = 6.7 Hz, 1H), 7.75-7.70 (m, 2H), 7.64 (d, *J* = 9.0 Hz, 1H), 7.39 (t, *J* = 8.0 Hz, 1H), 7.27-7.22 (m, 1H), 6.96-6.89 (m, 2H), 3.90 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 159.8, 145.4, 142.5, 134.3, 129.6, 125.2, 124.0, 120.4, 117.7, 114.6, 113.2, 113.0, 92.0, 55.5; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂O [M+H]⁺ 303.0128, found 303.0125.



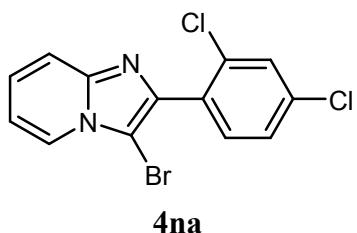
3-Bromo-2-(o-tolyl)imidazo[1,2-a]pyridine (4ka). Yellow solid; m.p. 80-82 °C; 75.0 mg, yield: 87%; ¹H NMR (300 MHz, CDCl₃): δ 8.17 (dt, *J*₁ = 6.9 Hz, *J*₂ = 1.2 Hz, 1H), 7.64 (dt, *J*₁ = 9.1 Hz, *J*₂ = 1.1 Hz, 1H), 7.47-7.44 (m, 1H), 7.37-7.24 (m, 4H), 6.95 (td, *J*₁ = 6.8 Hz, *J*₂ = 1.2 Hz, 1H), 2.38 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 145.3, 144.8, 137.6, 132.4, 130.8, 130.5, 128.7, 125.5, 124.9, 124.1, 117.8, 113.1, 93.8, 20.3; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂ [M+H]⁺ 287.0178, found 287.0176.



3-Bromo-2-(2-bromophenyl)imidazo[1,2-a]pyridine (4la). White solid; m.p. 150-151 °C; 86.6 mg, yield: 82%; ¹H NMR (300 MHz, CDCl₃): δ 8.18-8.14 (m, 1H), 7.72-7.69 (m, 1H), 7.68-7.64 (m, 1H), 7.53-7.49 (m, 1H), 7.40 (tt, *J*₁ = 7.5 Hz, *J*₂ = 1.2 Hz, 1H), 7.32-7.24 (m, 2H), 6.99-6.93 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 145.2, 143.9, 134.2, 133.1, 132.5, 130.2, 127.2, 125.1, 124.1, 123.8, 118.0, 113.3, 94.4.; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₉Br₂N₂ [M+H]⁺ 350.9127, found 350.9130.

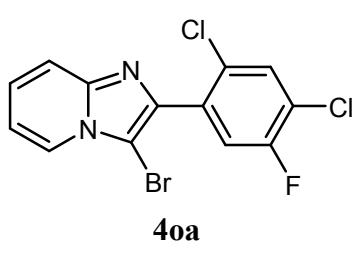


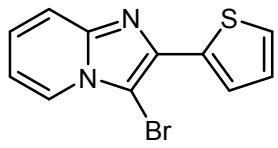
3-Bromo-2-(2-methoxyphenyl)imidazo[1,2-a]pyridine (4ma). White solid; m.p. 113-115 °C; 77.3 mg, yield: 85%; ¹H NMR (300 MHz, CDCl₃): δ 8.13 (d, *J* = 6.8 Hz, 1H), 7.63 (d, *J* = 9.0 Hz, 1H), 7.57 (dd, *J*₁ = 7.5 Hz, *J*₂ = 1.8 Hz, 1H), 7.40 (t, *J* = 7.9 Hz, 1H), 7.20 (t, *J* = 7.5 Hz, 1H), 7.10-6.98 (m, 2H), 6.88 (t, *J* = 6.9 Hz, 1H), 3.86 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 157.2, 145.3, 141.9, 132.0, 130.1, 124.6, 123.9, 122.0, 120.5, 117.7, 112.9, 111.1, 94.8, 55.5; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂O [M+H]⁺ 303.0128, found 303.0121.



3-Bromo-2-(2,4-dichlorophenyl)imidazo[1,2-a]pyridine (4na). White solid; m.p. 151-153 °C; 98.5 mg, yield: 96%; ¹H NMR (300 MHz, CDCl₃): δ 8.18-8.14 (m, 1H), 7.65 (d, *J* = 9.2 Hz, 1H), 7.54-7.48 (m, 2H), 7.37-7.26 (m, 2H), 6.99-6.95 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 145.4, 141.4, 135.3, 134.7, 133.2, 130.8, 129.8, 127.1, 125.3, 124.1, 118.0, 113.5, 94.8; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₈BrCl₂N₂ [M+H]⁺ 340.9242, found 340.9241.

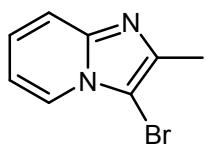
3-Bromo-2-(2,4-dichloro-5-fluorophenyl)imidazo[1,2-a]pyridine (4oa). White solid; m.p. 192-193 °C; 83.2 mg, yield: 77%; ¹H NMR (300 MHz, CDCl₃): δ 8.18 (d, *J* = 6.9 Hz, 1H), 7.66 (d, *J* = 9.1 Hz, 1H), 7.58 (d, *J* = 6.7 Hz, 1H), 7.39 (d, *J* = 9.1 Hz, 1H), 7.35-7.27 (m, 1H), 7.01 (t, *J* = 6.8 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 156.6 (d, *J* = 249.8 Hz), 145.5, 140.6, 132.5 (d, *J* = 7.3 Hz), 131.5, 129.4 (d, *J* = 3.8 Hz), 125.6, 124.2, 122.4 (d, *J* = 18.9 Hz), 120.0 (d, *J* = 23.0 Hz), 118.2, 113.7, 94.9; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₇BrCl₂FN₂ [M + H]⁺ 358.9148, found 358.9156.





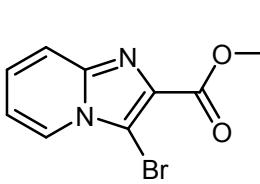
4pa

3-Bromo-2-(thiophen-2-yl)imidazo[1,2-a]pyridine (4pa). Yellow solid; m.p. 108-111 °C; 81.2 mg, yield: 97%; ¹H NMR (300 MHz, CDCl₃): δ 8.09 (d, *J* = 6.9 Hz, 1H), 7.86 (d, *J* = 3.6 Hz, 1H), 7.60 (d, *J* = 9.1 Hz, 1H), 7.40-7.38 (m, 1H), 7.26-7.20 (m, 1H), 7.16-7.13 (m, 1H), 6.90 (t, *J* = 6.8 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 145.36, 138.49, 136.02, 127.78, 126.20, 125.49, 125.39, 123.80, 117.38, 113.19, 90.82; HR-MS (ESI-TOF) m/z: calcd for C₁₁H₈BrN₂S [M+H]⁺ 278.9586, found 278.9587.



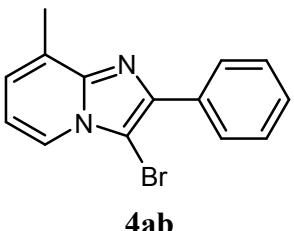
4qa

3-Bromo-2-methylimidazo[1,2-a]pyridine (4qa). Yellow solid; m.p. 61-64 °C; 57.0 mg, yield: 90%; ¹H NMR (300 MHz, CDCl₃): δ 7.95 (d, *J* = 6.6 Hz, 1H), 7.44 (d, *J* = 9.1 Hz, 1H), 7.15-7.08 (m, 1H), 6.80 (t, *J* = 5.4 Hz, 1H), 2.39 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 145.2, 142.0, 124.5, 123.7, 117.0, 112.7, 93.0, 13.7; HR-MS (ESI-TOF) m/z: calcd for C₈H₈BrN₂ [M+H]⁺ 210.9865, found 210.9863.

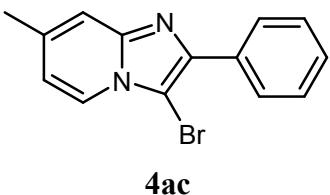


4ra

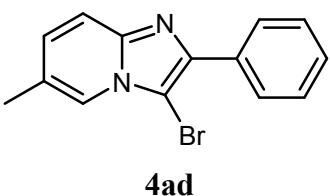
Ethyl 3-bromoimidazo[1,2-a]pyridine-2-carboxylate (4ra). Yellow solid; m.p. 55-58 °C; 37.9 mg, yield: 47%; ¹H NMR (300 MHz, CDCl₃): δ 8.20 (dt, *J*₁ = 7.0, *J*₂ = 1.2 Hz, 1H), 7.68 (dt, *J*₁ = 9.2, *J*₂ = 1.1 Hz, 1H), 7.35-7.29 (m, 1H), 7.03-6.98 (m, 1H), 4.49 (q, *J* = 7.1 Hz, 2H), 1.46 (t, *J* = 7.1 Hz, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 162.4, 145.2, 133.8, 126.7, 124.5, 119.2, 114.6, 100.4, 61.5, 14.5; HR-MS (ESI-TOF) m/z: calcd for C₁₀H₁₀BrN₂O₂ [M+H]⁺ 268.9920, found 268.9924.



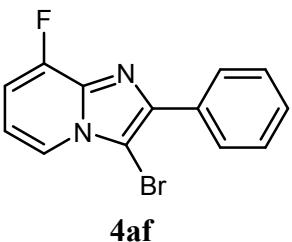
3-Bromo-8-methyl-2-phenylimidazo[1,2-a]pyridine (4ab). Yellow solid; m.p. 101-103 °C; 59.4 mg, yield: 69%; ¹H NMR (300 MHz, CDCl₃): δ 8.15-8.12 (m, 2H), 8.01 (d, *J* = 6.8 Hz, 1H), 7.52-7.46 (m, 2H), 7.41-7.36 (m, 1H), 7.02 (dt, *J*₁ = 6.9 Hz, *J*₂ = 1.2 Hz, 1H), 6.80 (t, *J* = 6.9 Hz, 1H), 2.67 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 145.8, 142.2, 133.2, 128.5, 128.2, 128.1, 127.7, 123.9, 121.9, 113.1, 92.1, 16.7; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂ [M+H]⁺ 287.0178, found 287.0180.



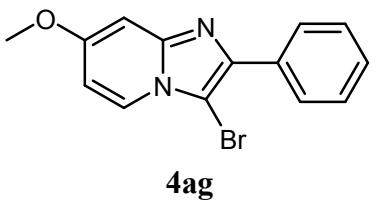
3-Bromo-7-methyl-2-phenylimidazo[1,2-a]pyridine (4ac). White solid; m.p. 113-115 °C; 66.3 mg, yield: 77%; ¹H NMR (300 MHz, CDCl₃): δ 8.13-8.10 (m, 2H), 8.04 (d, *J* = 7.0 Hz, 1H), 7.50-7.45 (m, 2H), 7.40-7.35 (m, 2H), 6.77-6.74 (m, 1H), 2.43 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 145.9, 142.4, 136.4, 133.1, 128.6, 128.3, 127.9, 123.3, 116.1, 115.8, 91.0, 21.5; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂ [M+H]⁺ 287.0178, found 287.0180.



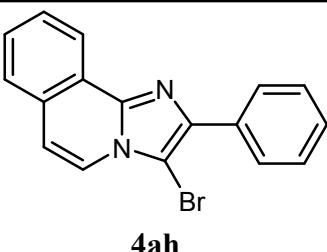
3-Bromo-6-methyl-2-phenylimidazo[1,2-a]pyridine (4ad). White solid; m.p. 136-137 °C; 65.5 mg, yield: 76%; ¹H NMR (300 MHz, CDCl₃): δ 8.14-8.10 (m, 2H), 7.92 (s, 1H), 7.54-7.45 (m, 3H), 7.40-7.34 (m, 1H), 7.08 (dd, *J*₁ = 9.1 Hz, *J*₂ = 1.6 Hz, 1H), 2.36 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 144.6, 142.4, 133.1, 128.5, 128.3, 128.2, 127.9, 122.9, 121.7, 117.0, 91.3, 18.5; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂ [M+H]⁺ 287.0178, found 287.0179.



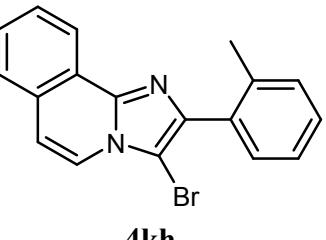
3-Bromo-8-fluoro-2-phenylimidazo[1,2-a]pyridine (4af). White solid; m.p. 105-106 °C; 50.7 mg, yield: 58%; ¹H NMR (300 MHz, CDCl₃): δ 8.17-8.14 (m, 2H), 8.02-7.98 (m, 1H), 7.51-7.46 (m, 2H), 7.43-7.37 (m, 1H), 7.01-6.94 (m, 1H), 6.88-6.81 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 153.0, 149.7, 143.3, 132.4, 128.7, 128.6, 128.1, 120.5 (d, *J* = 5.0 Hz), 112.1 (d, *J* = 7.0 Hz), 107.9 (d, *J* = 16.5 Hz), 93.4; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₉BrFN₂ [M+H]⁺ 290.9928, found 290.9933.



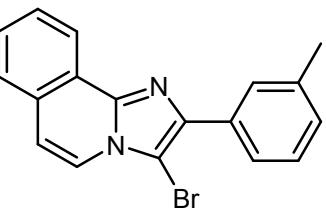
3-Bromo-7-methoxy-2-phenylimidazo[1,2-a]pyridine (4ag). Yellow solid; m.p. 126-129 °C; 53.7 mg, yield: 59%; ¹H NMR (300 MHz, CDCl₃): δ 8.13-8.10 (m, 2H), 7.98 (d, *J* = 7.5 Hz, 1H), 7.50-7.45 (m, 2H), 7.40-7.34 (m, 1H), 6.92 (s, 1H), 6.66-6.62 (m, 1H), 3.88 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 158.4, 146.8, 142.1, 133.1, 128.5, 128.2, 127.7, 124.4, 108.2, 94.9, 90.0, 55.8; HR-MS (ESI-TOF) m/z: calcd for C₁₄H₁₂BrN₂O [M+H]⁺ 303.0128, found 303.0129.



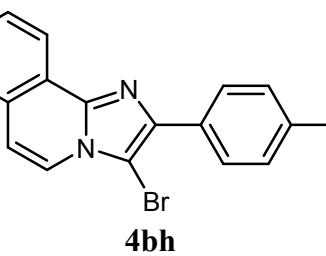
3-Bromo-2-phenylimidazo[2,1-a]isoquinoline (4ah). White solid; m.p. 159-161 °C; 92.1 mg, yield: 95%; ¹H NMR (300 MHz, CDCl₃): δ 8.72 (d, *J* = 7.8 Hz, 1H), 8.21-8.17 (m, 2H), 7.94 (d, *J* = 7.4 Hz, 1H), 7.72-7.69 (m, 1H), 7.68-7.55 (m, 2H), 7.54-7.49 (m, 2H), 7.43-7.37 (m, 1H), 7.12 (d, *J* = 7.4 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 143.4, 140.9, 133.2, 129.5, 128.7, 128.6, 128.5, 128.1, 127.8, 127.2, 123.5, 123.2, 121.1, 113.8, 93.7; HR-MS (ESI-TOF) m/z: calcd for C₁₇H₁₂BrN₂ [M+H]⁺ 323.0178, found 323.0183.



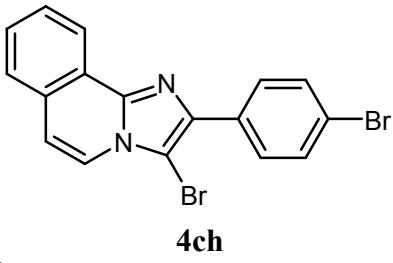
3-Bromo-2-(o-tolyl)imidazo[2,1-a]isoquinoline (4kh). White solid; m.p. 142-143 °C; 77.9 mg, yield: 77%; ¹H NMR (300 MHz, CDCl₃): δ 8.68 (d, *J* = 7.6 Hz, 1H), 7.94 (d, *J* = 7.1 Hz, 1H), 7.71 (d, *J* = 7.7 Hz, 1H), 7.66-7.55 (m, 2H), 7.50 (d, *J* = 6.6 Hz, 1H), 7.34-7.24 (m, 3H), 7.14 (d, *J* = 7.3 Hz, 1H), 2.43 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 143.1, 142.7, 137.8, 132.5, 130.8, 130.6, 129.4, 128.7, 128.6, 128.5, 127.1, 125.6, 123.6, 123.0, 121.2, 113.7, 95.6, 20.4; HR-MS (ESI-TOF) m/z: calcd for C₁₈H₁₄BrN₂ [M+H]⁺ 337.0335, found 337.0333.



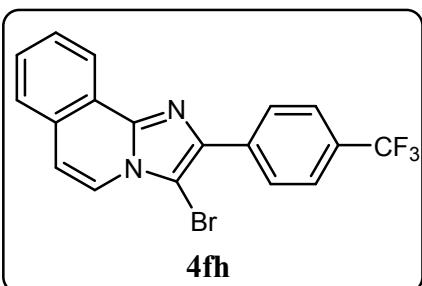
3-Bromo-2-(m-tolyl)imidazo[2,1-a]isoquinoline (4hh). Yellow solid; m.p. 139-142 °C; 74.9 mg, yield: 74%; ¹H NMR (300 MHz, CDCl₃): δ 8.70 (d, *J* = 7.8 Hz, 1H), 8.00-7.95 (m, 2H), 7.90 (d, *J* = 7.3 Hz, 1H), 7.68-7.52 (m, 3H), 7.38 (t, *J* = 7.6 Hz, 1H), 7.23-7.18 (m, 1H), 7.07 (d, *J* = 7.4 Hz, 1H), 2.46 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 143.3, 141.0, 138.2, 133.1, 129.5, 128.9, 128.6, 128.5, 128.4, 127.1, 124.9, 123.5, 123.1, 121.0, 113.7, 93.7, 21.7; HR-MS (ESI-TOF) m/z: calcd for C₁₈H₁₄BrN₂ [M+H]⁺ 337.0335, found 337.0330.



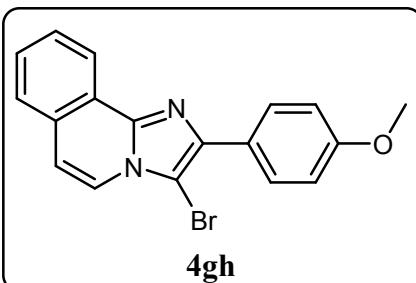
3-Bromo-2-(p-tolyl)imidazo[2,1-a]isoquinoline (4bh). Yellow solid; m.p. 122-124 °C; 75.9 mg, yield: 75%; ¹H NMR (300 MHz, CDCl₃): δ 8.72 (d, *J* = 7.9 Hz, 1H), 8.08 (d, *J* = 8.2 Hz, 2H), 7.94 (d, *J* = 7.4 Hz, 1H), 7.72-7.55 (m, 3H), 7.32 (d, *J* = 7.9 Hz, 2H), 7.11 (d, *J* = 7.3 Hz, 1H), 2.43 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 143.3, 141.0, 137.9, 130.3, 129.5, 129.3, 128.6, 128.4, 127.7, 127.1, 123.5, 123.2, 121.1, 113.6, 93.4, 21.5; HR-MS (ESI-TOF) m/z: calcd for C₁₈H₁₄BrN₂ [M+H]⁺ 337.0335, found 337.0331.



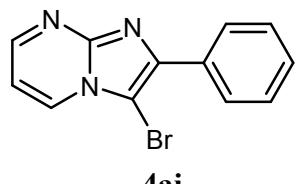
3-Bromo-2-(4-bromophenyl)imidazo[2,1-a]isoquinoline (4ch). Yellow solid; m.p. 167-168 °C; 82.0 mg, yield: 68%; ¹H NMR (300 MHz, CDCl₃): δ 8.68 (d, *J* = 7.8 Hz, 1H), 8.09-8.05 (m, 2H), 7.93 (d, *J* = 7.4 Hz, 1H), 7.73-7.63 (m, 2H), 7.62-7.56 (m, 3H), 7.13 (d, *J* = 7.3 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 143.5, 139.8, 132.2, 131.7, 129.6, 129.3, 128.9, 128.6, 127.2, 123.5, 123.2, 122.2, 121.0, 114.0, 93.8; HR-MS (ESI-TOF) m/z: calcd for C₁₇H₁₁Br₂N₂ [M + H]⁺ 400.9284, found 400.9278.



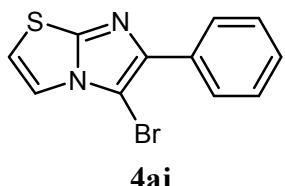
3-Bromo-2-(4-(trifluoromethyl)phenyl)imidazo[2,1-a]isoquinoline (4fh). Yellow solid; m.p. 158-159 °C; 97.4 mg, yield: 83%; ¹H NMR (300 MHz, CDCl₃): δ 8.69 (d, *J* = 7.8 Hz, 1H), 8.32 (d, *J* = 8.1 Hz, 2H), 7.94 (d, *J* = 7.4 Hz, 1H), 7.75-7.70 (m, 3H), 7.69-7.58 (m, 2H), 7.15 (d, *J* = 7.4 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 143.7, 139.3, 136.7, 129.8 (q, *J* = 32.3 Hz), 129.6, 129.0, 128.7, 127.8, 127.3, 125.5 (q, *J* = 3.9 Hz), 124.4 (q, *J* = 270.0 Hz), 123.5, 123.2, 121.0, 114.2, 94.6; HR-MS (ESI-TOF) m/z: calcd for C₁₈H₁₁BrF₃N₂ [M+H]⁺ 391.0052, found 391.0046.



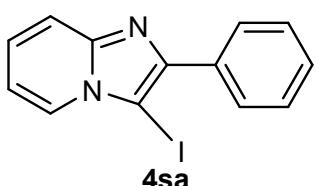
3-Bromo-2-(4-methoxyphenyl)imidazo[2,1-a]isoquinoline (4gh). Yellow solid; m.p. 144-146 °C; 101.7 mg, yield: 96%; ¹H NMR (300 MHz, CDCl₃): δ 8.70 (d, *J* = 7.9 Hz, 1H), 8.12 (d, *J* = 8.4 Hz, 2H), 7.92 (d, *J* = 7.3 Hz, 1H), 7.70-7.54 (m, 3H), 7.11-7.02 (m, 3H), 3.87 (s, 3H); ¹³C NMR (75 MHz, CDCl₃): δ 159.6, 143.3, 140.8, 129.5, 129.1, 128.5, 128.4, 127.1, 125.8, 123.5, 123.1, 121.0, 114.0, 113.5, 92.9, 55.4; HR-MS (ESI-TOF) m/z: calcd for C₁₈H₁₄BrN₂O [M+H]⁺ 353.0284, found 353.0279.



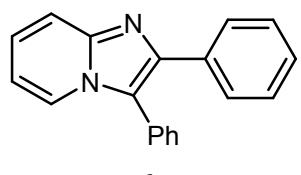
3-Bromo-2-phenylimidazo[1,2-a]pyrimidine (4ai). Yellow solid; m.p. 133-135 °C; 24.7 mg, yield: 30%; ¹H NMR (300 MHz, CDCl₃): δ 8.58 (s, 1H), 8.45 (d, *J* = 6.9 Hz, 1H), 8.22 (d, *J* = 7.6 Hz, 2H), 7.52-7.38 (m, 3H), 7.01-6.98 (m, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 150.3, 148.3, 144.4, 132.3, 131.6, 129.0, 128.6, 128.2, 109.5, 90.5; HR-MS (ESI-TOF) m/z: calcd for C₁₂H₉BrN₃ [M+H]⁺ 273.9974, found 273.9972.



5-Bromo-6-phenylimidazo[2,1-b]thiazole (4aj). Yellow solid; m.p. 109-110 °C; 15.9 mg, yield: 19%; ¹H NMR (300 MHz, CDCl₃): δ 8.03-8.00 (m, 2H), 7.48-7.41 (m, 3H), 7.37-7.31 (m, 1H), 6.91 (d, *J* = 4.4 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 148.9, 144.1, 133.2, 128.6, 127.9, 127.0, 117.7, 113.1, 90.2; HR-MS (ESI-TOF) m/z: calcd for C₁₁H₈BrN₂S [M+H]⁺ 278.9586, found 278.9591.

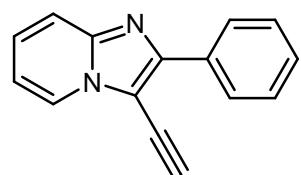


3-Iodo-2-phenylimidazo[1,2-a]pyridine (4sa). White solid; m.p. 164-167 °C; 37.5 mg, yield: 39%; ¹H NMR (300 MHz, CDCl₃): δ 8.18 (d, *J* = 6.9 Hz, 1H), 8.09-8.06 (m, 2H), 7.60 (d, *J* = 9.0 Hz, 1H), 7.51-7.46 (m, 2H), 7.42-7.36 (m, 1H), 7.26-7.20 (m, 1H), 6.88 (t, *J* = 6.9 Hz, 1H); ¹³C NMR (75 MHz, CDCl₃): δ 148.2, 148.1, 133.6, 128.6, 128.43, 128.40, 126.6, 125.6, 117.6, 113.2, 59.6; HR-MS (ESI-TOF) m/z: calcd for C₁₃H₁₀IN₂ [M+H]⁺ 320.9883, found 320.9881.



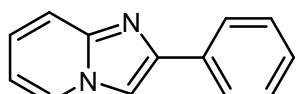
6

2,3-Diphenylimidazo[1,2-a]pyridine (6). White solid; m.p. 147-149 °C; 69.7 mg, yield: 86%; ^1H NMR (300 MHz, CDCl_3): δ 7.83 (d, $J = 6.9$ Hz, 1H), 7.58-7.55 (m, 3H), 7.44-7.31 (m, 5H), 7.20-7.05 (m, 4H), 6.60 (t, $J = 6.8$ Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3): ^{13}C NMR (75 MHz, Chloroform-*d*): δ 144.9, 142.5, 134.3, 130.8, 130.0, 129.6, 129.0, 128.4, 128.2, 127.6, 124.7, 123.4, 121.2, 117.6, 112.3; HR-MS (ESI-TOF) m/z: calcd for $\text{C}_{19}\text{H}_{15}\text{N}_2$ $[\text{M}+\text{H}]^+$ 271.1230, found 271.1227.



7

2-Phenyl-3-(phenylethynyl)imidazo[1,2-a]pyridine (7). Yellow solid; m.p. 159-161 °C; 63.6 mg, yield: 72%; ^1H NMR (300 MHz, CDCl_3): δ 8.41-8.35 (m, 3H), 7.68 (dt, $J_1 = 9.0$ Hz, $J_2 = 1.1$ Hz, 1H), 7.64-7.59 (m, 2H), 7.53-7.47 (m, 2H), 7.45-7.37 (m, 4H), 7.31-7.26 (m, 1H), 6.93 (td, $J_1 = 6.8$ Hz, $J_2 = 1.2$ Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3): δ 148.1, 145.4, 133.6, 131.3, 128.8, 128.7, 127.4, 126.4, 125.3, 122.8, 117.6, 113.1, 104.8, 101.3, 78.4; HR-MS (ESI-TOF) m/z: calcd for $\text{C}_{21}\text{H}_{15}\text{N}_2$ $[\text{M}+\text{H}]^+$ 295.1230, found 295.1227.

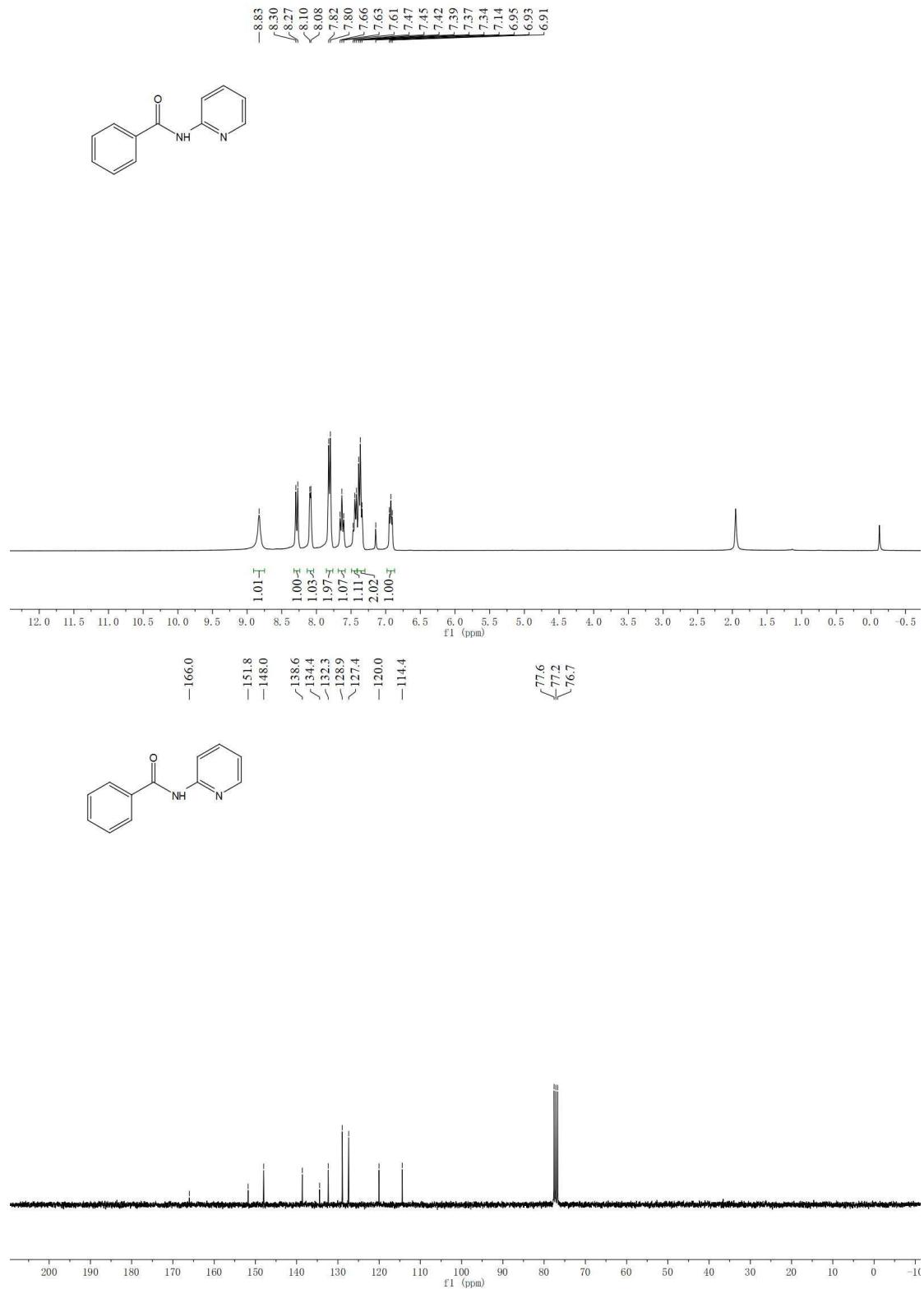


5aa

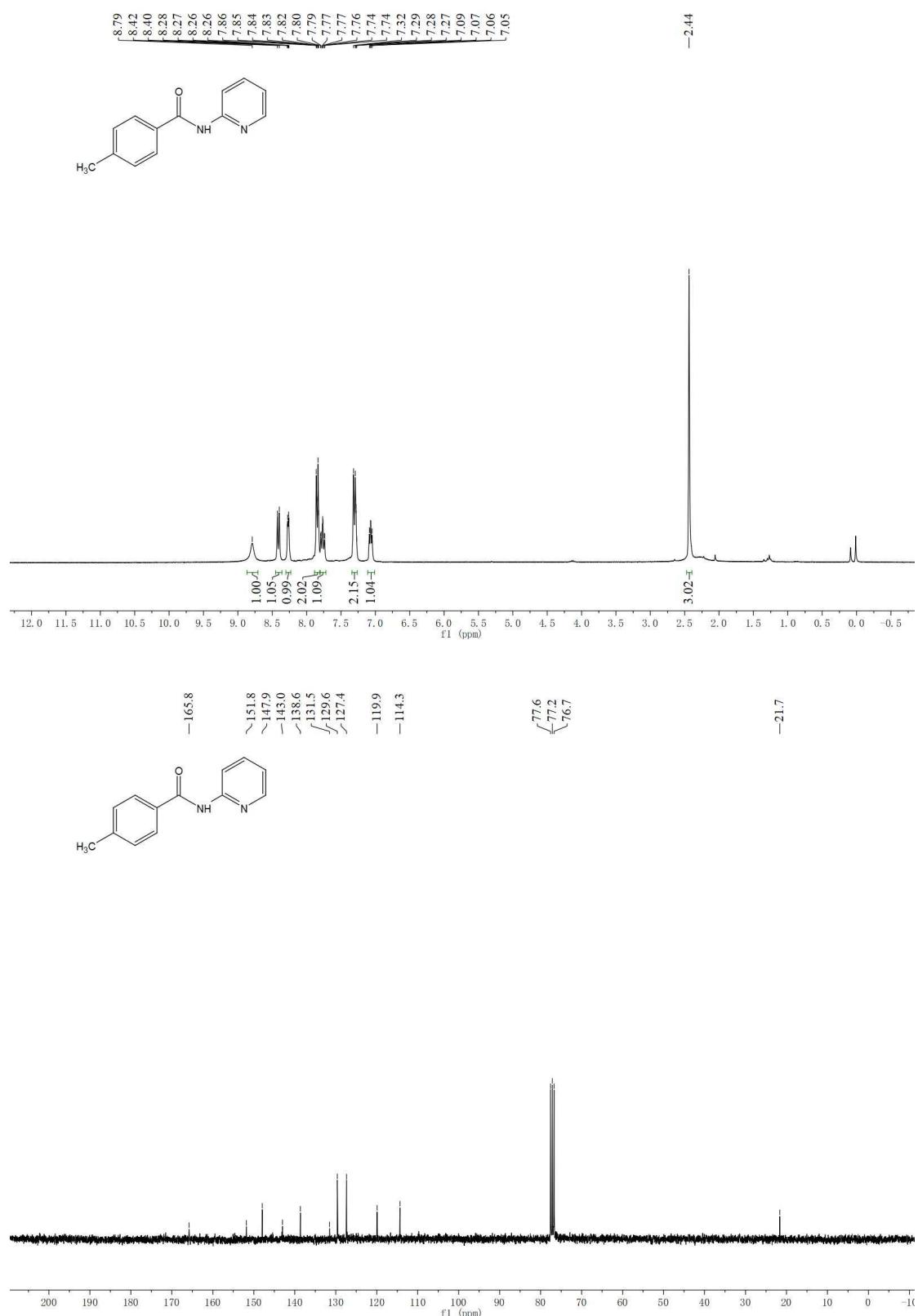
2-Phenylimidazo[1,2-a]pyridine (5aa). White solid; m.p. 132-134 °C; 30.9 mg, yield 53%; ^1H NMR (300 MHz, CDCl_3): δ 8.11 (d, $J = 7.1$ Hz, 1H), 7.98-7.95 (m, 2H), 7.85 (s, 1H), 7.64 (d, $J = 9.1$ Hz, 1H), 7.47-7.42 (m, 2H), 7.36-7.30 (m, 1H), 7.19-7.14 (m, 1H), 6.77 (t, $J = 6.8$ Hz, 1H); ^{13}C NMR (75 MHz, CDCl_3): δ 145.9, 145.8, 133.8, 128.9, 128.1, 126.2, 125.7, 124.8, 117.7, 112.6, 108.2; HR-MS (ESI-TOF) m/z: calcd for $\text{C}_{13}\text{H}_{11}\text{N}_2$ $[\text{M} + \text{H}]^+$ 195.0917, found 195.0915.

¹H and ¹³C NMR spectra

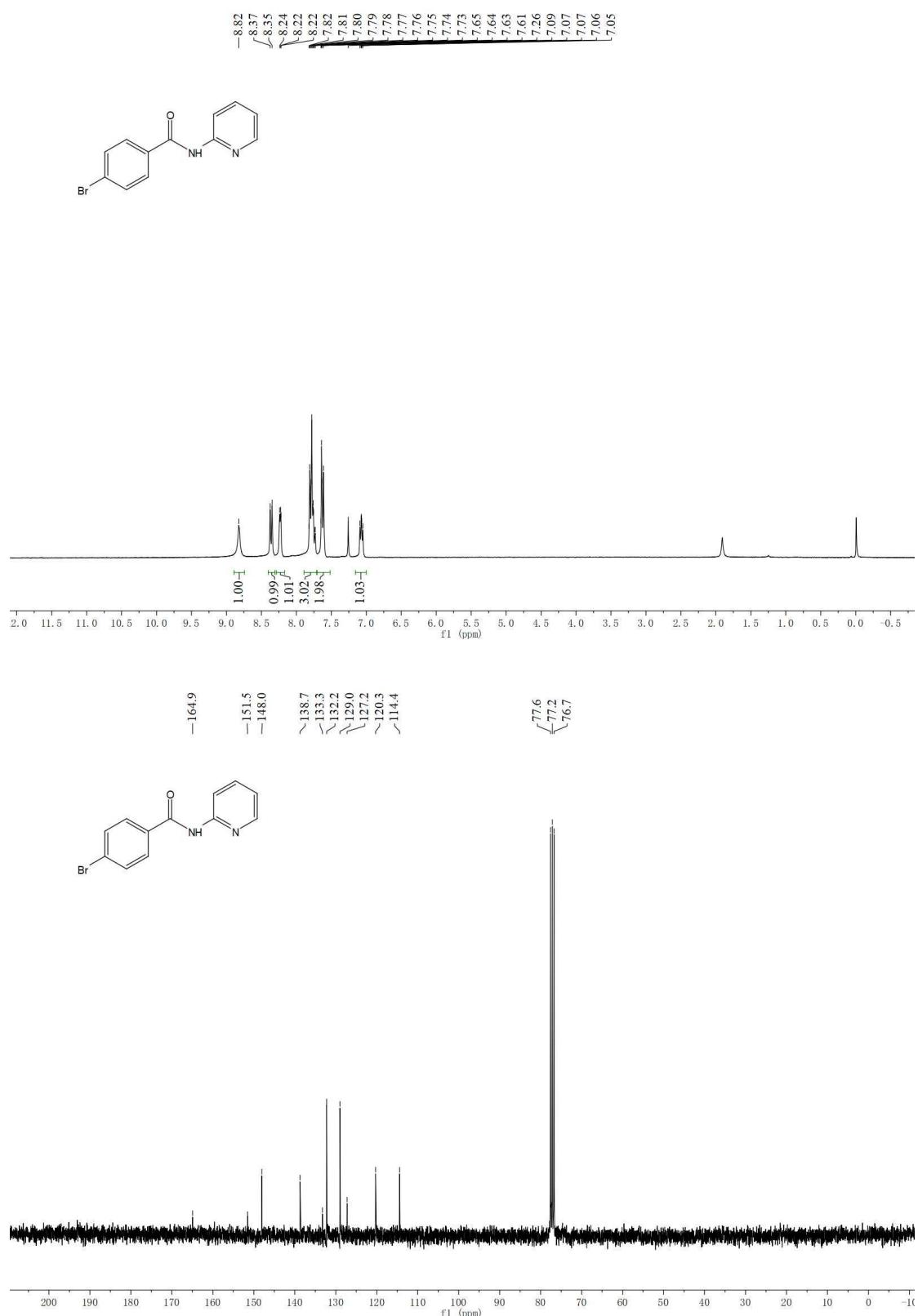
N-(Pyridin-2-yl)benzamide (3aa)



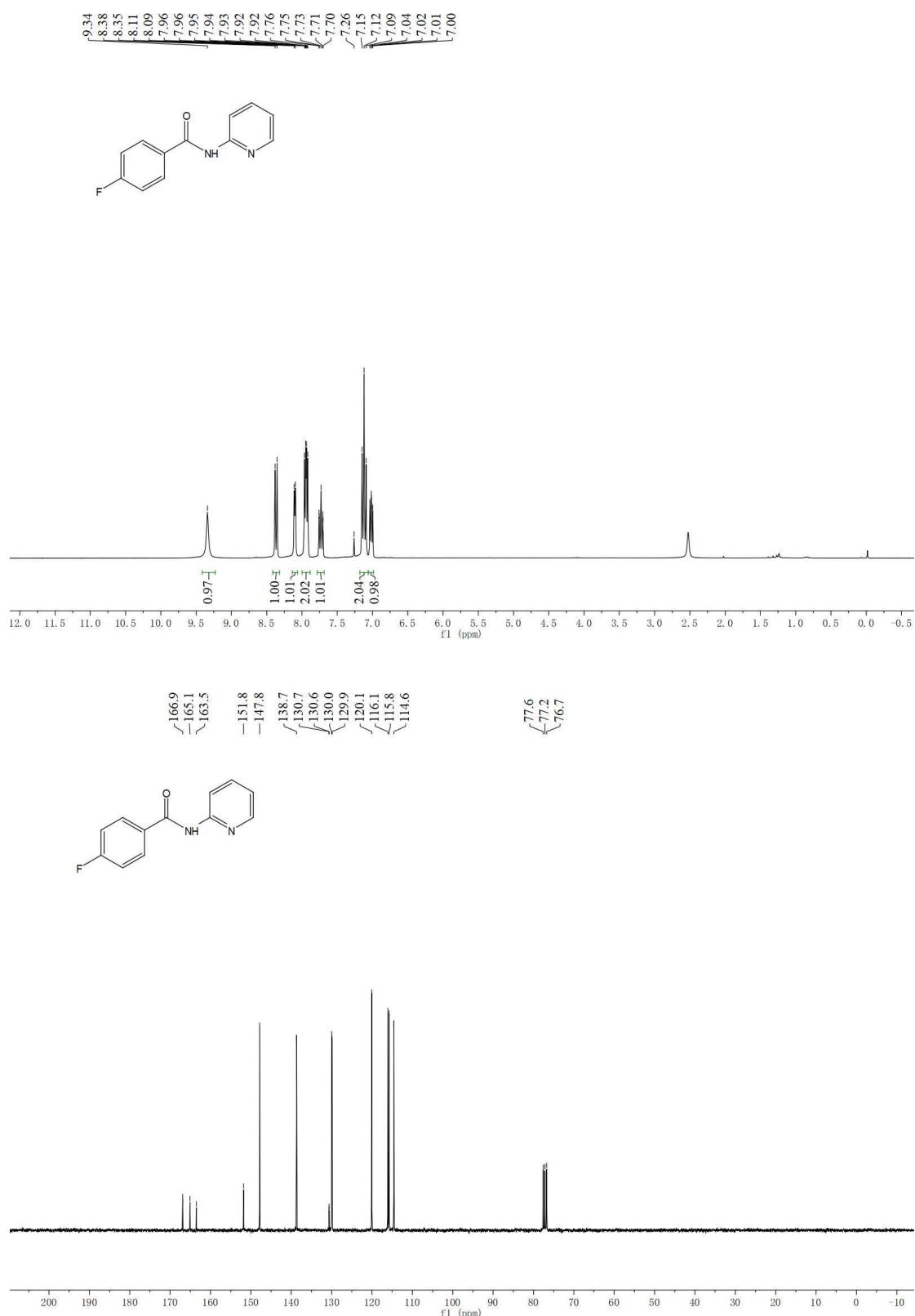
4-Methyl-N-(pyridin-2-yl)benzamide (3ba)



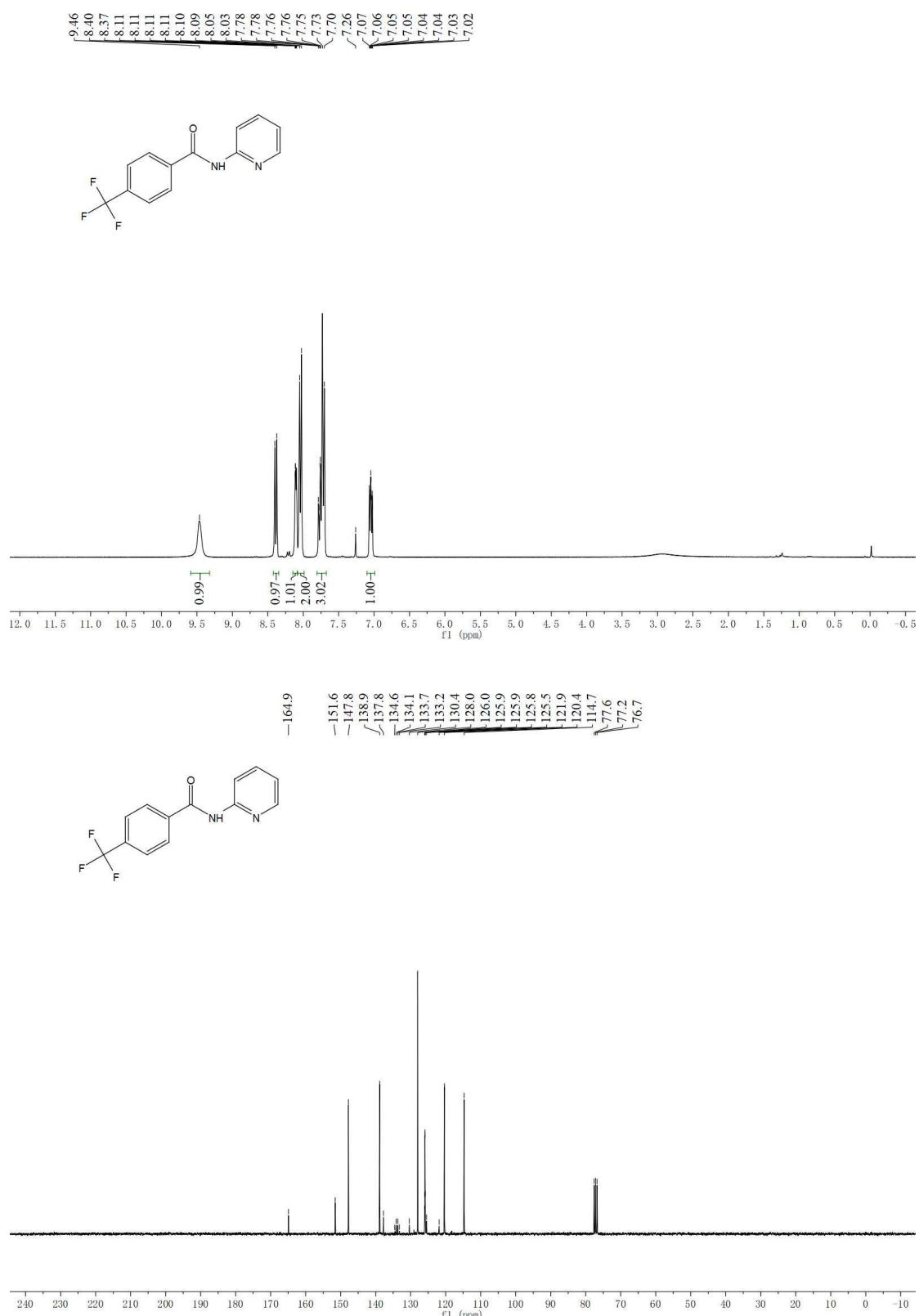
4-Bromo-N-(pyridin-2-yl)benzamide (3ca)



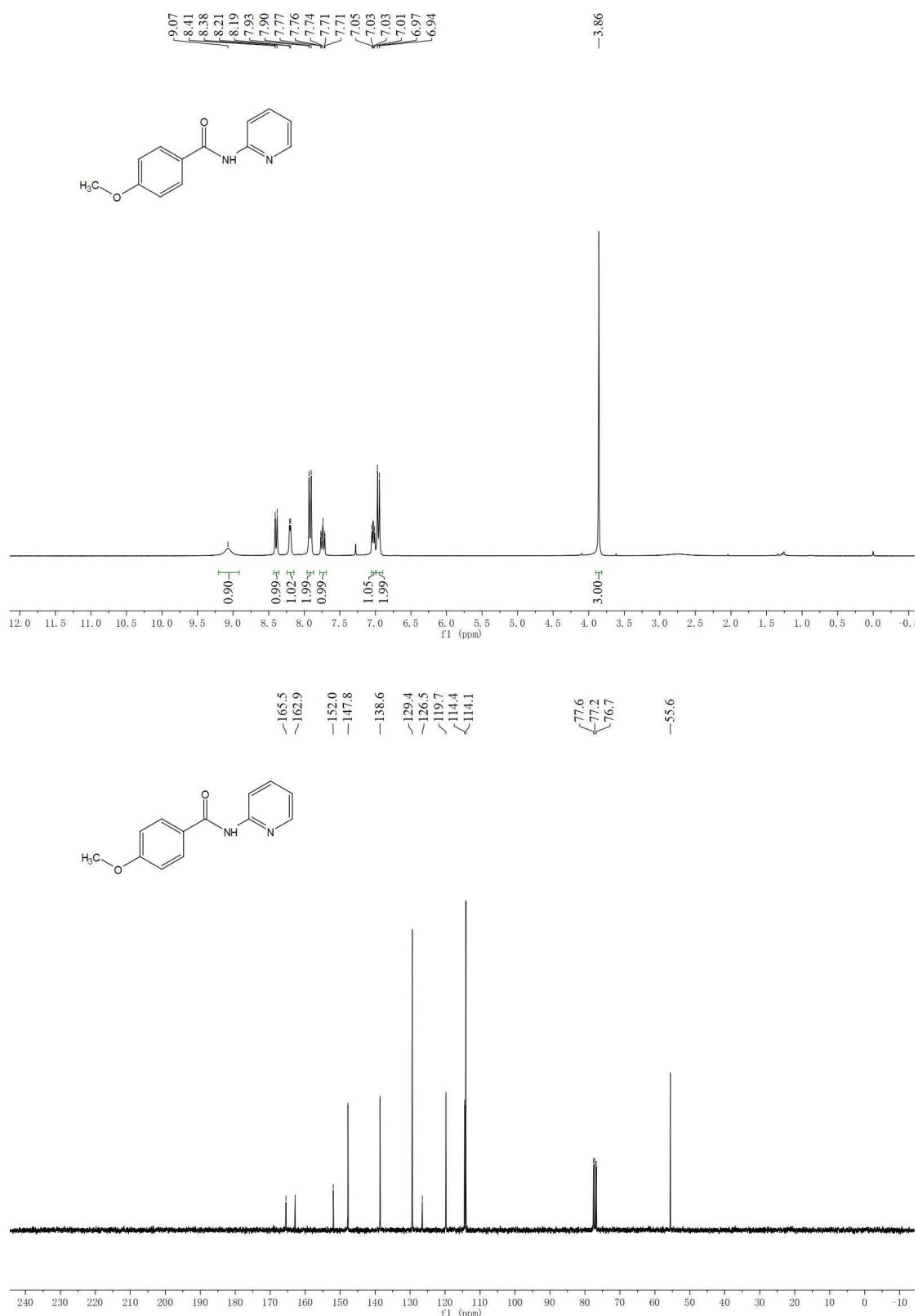
4-Fluoro-N-(pyridin-2-yl)benzamide (3da)



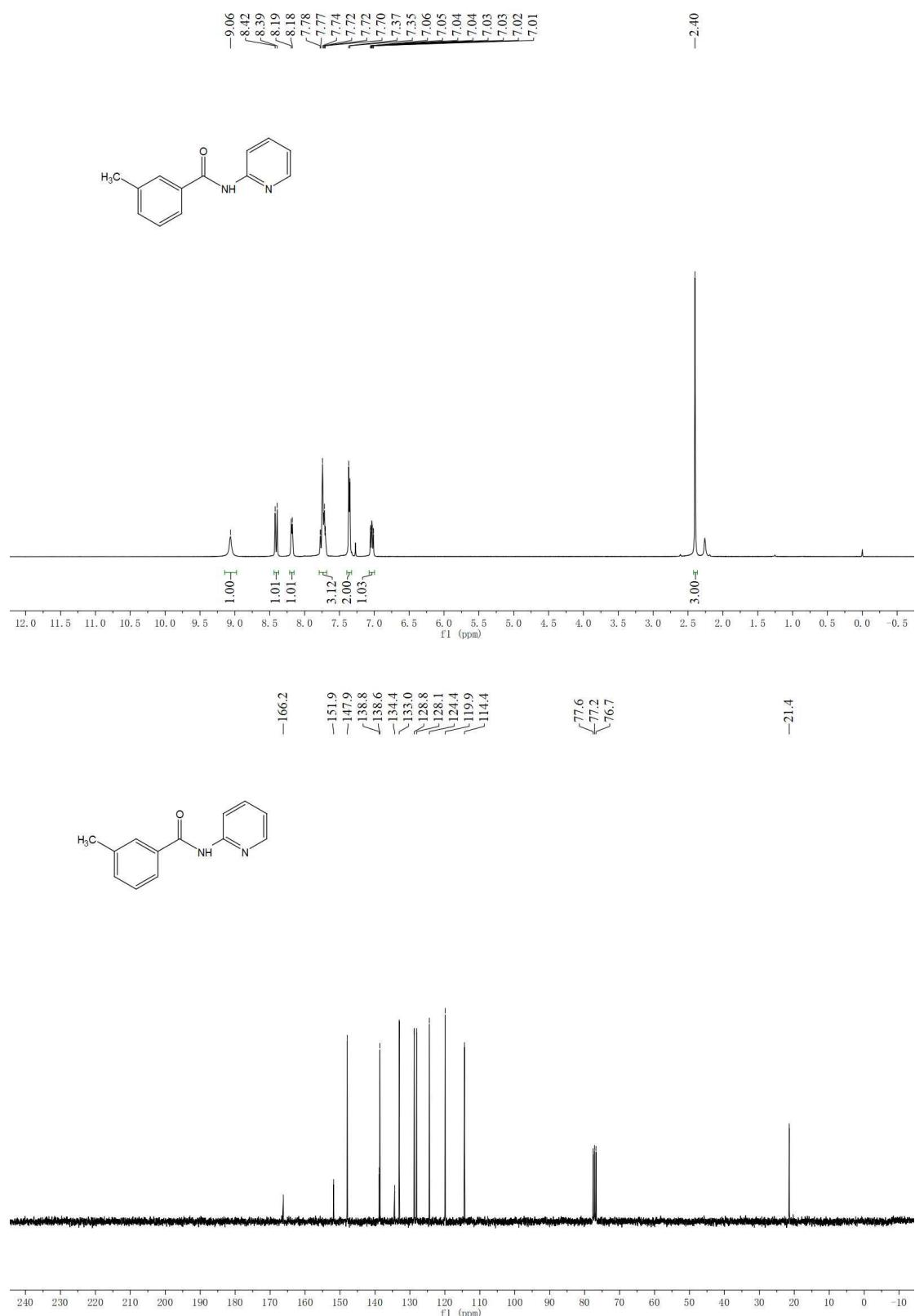
N-(Pyridin-2-yl)-4-(trifluoromethyl)benzamide (3ea)



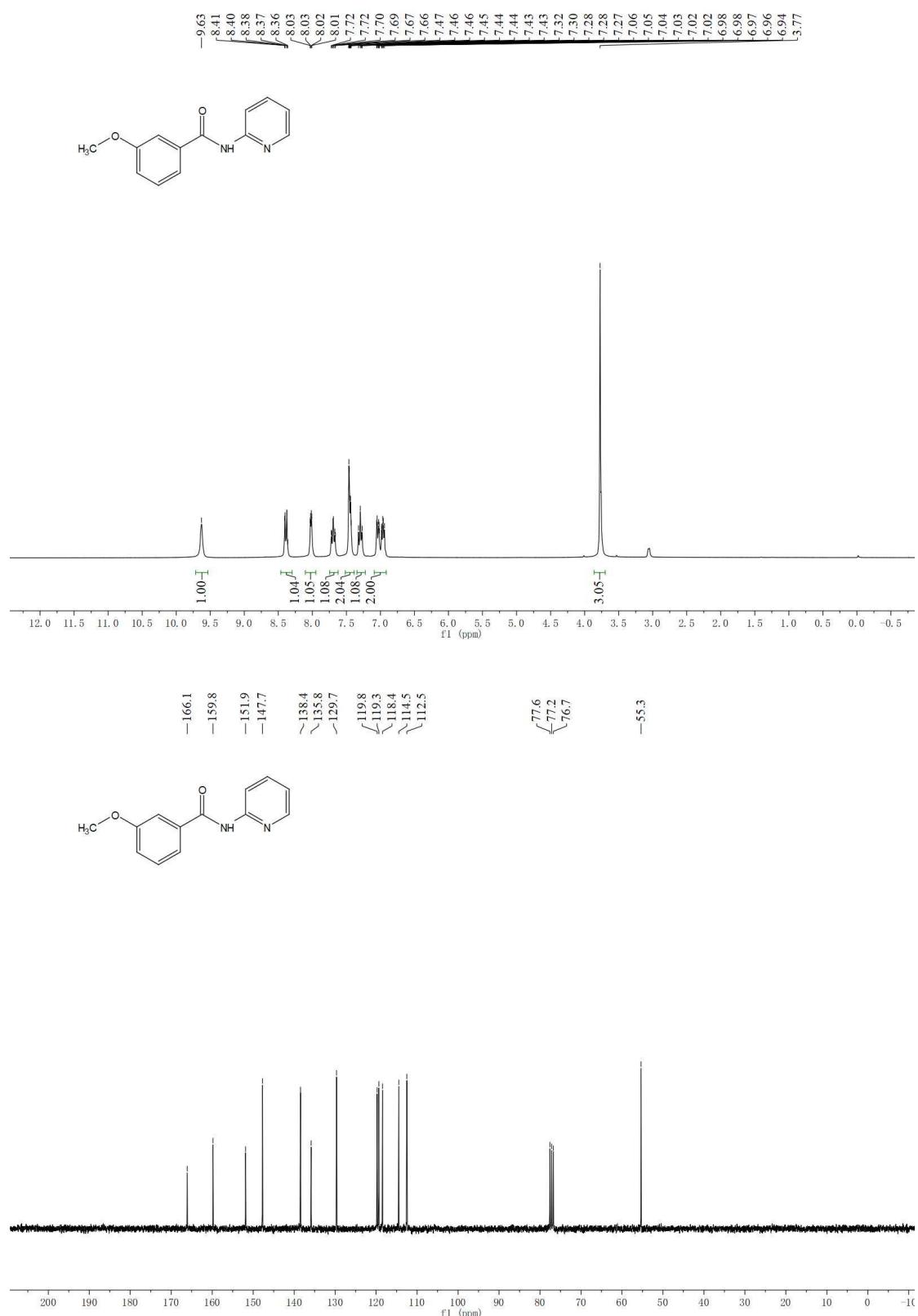
4-Methoxy-N-(pyridin-2-yl)benzamide (3fa)



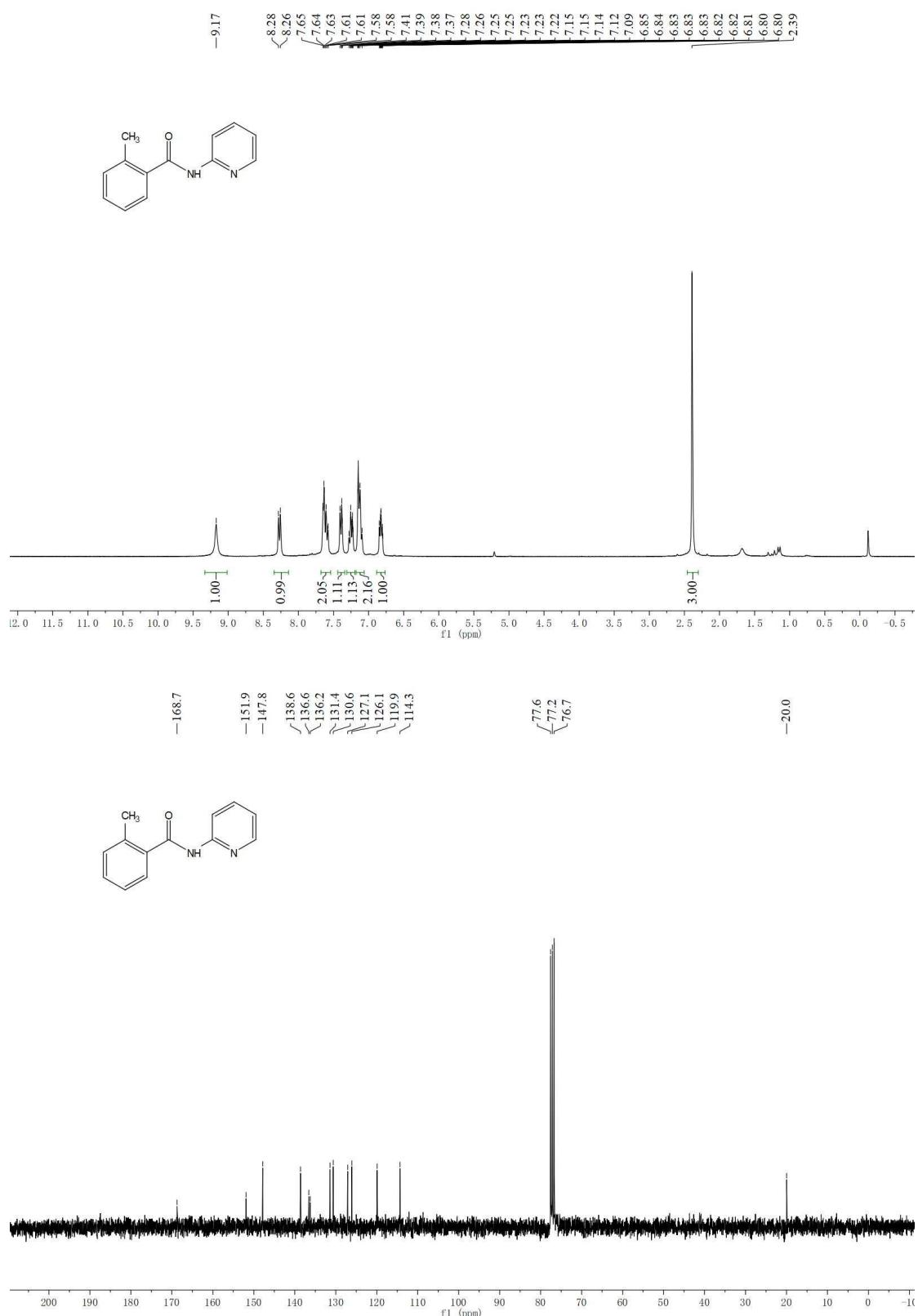
3-Methyl-N-(pyridin-2-yl)benzamide (3ga)



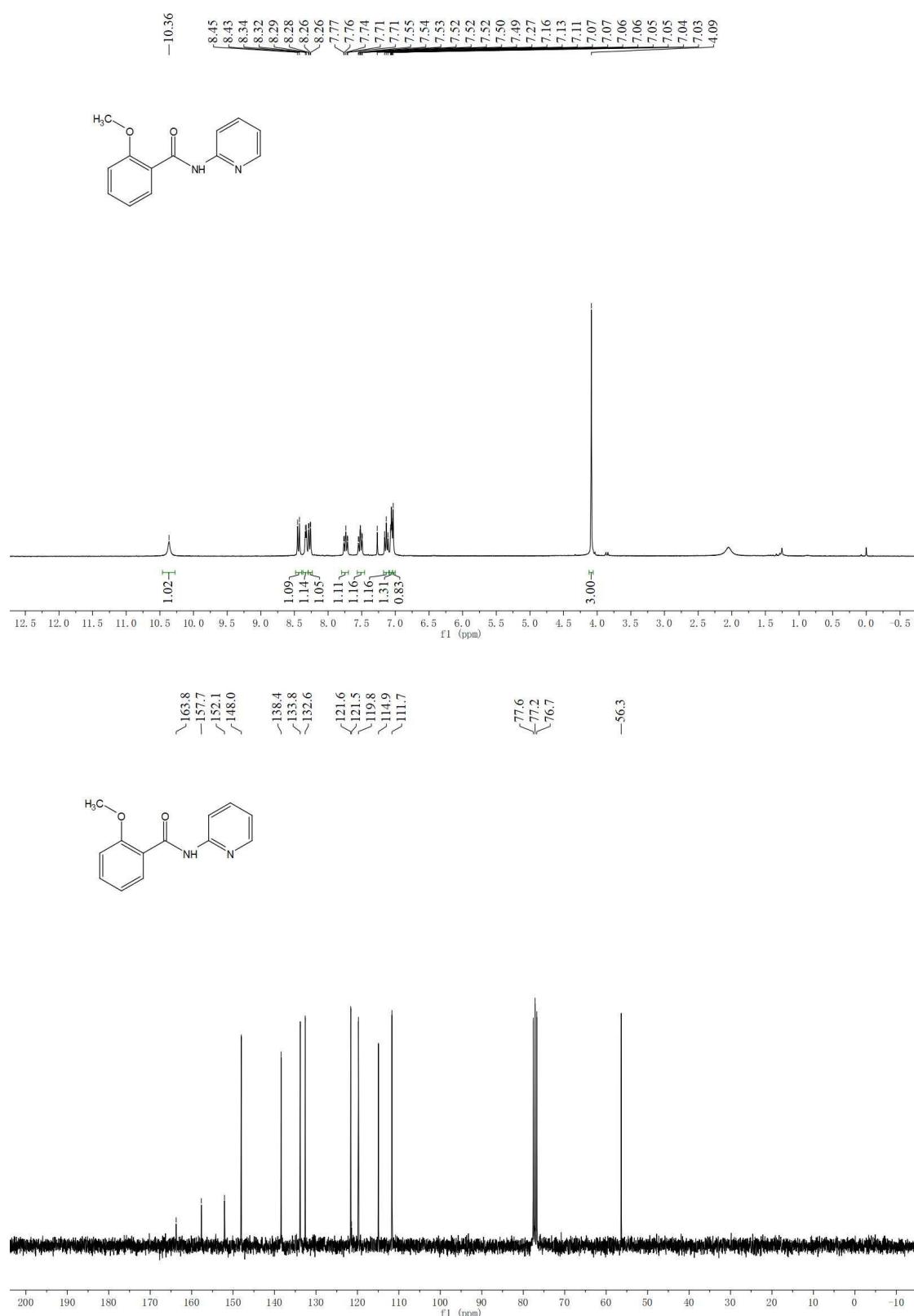
3-Methoxy-N-(pyridin-2-yl)benzamide (3ha)



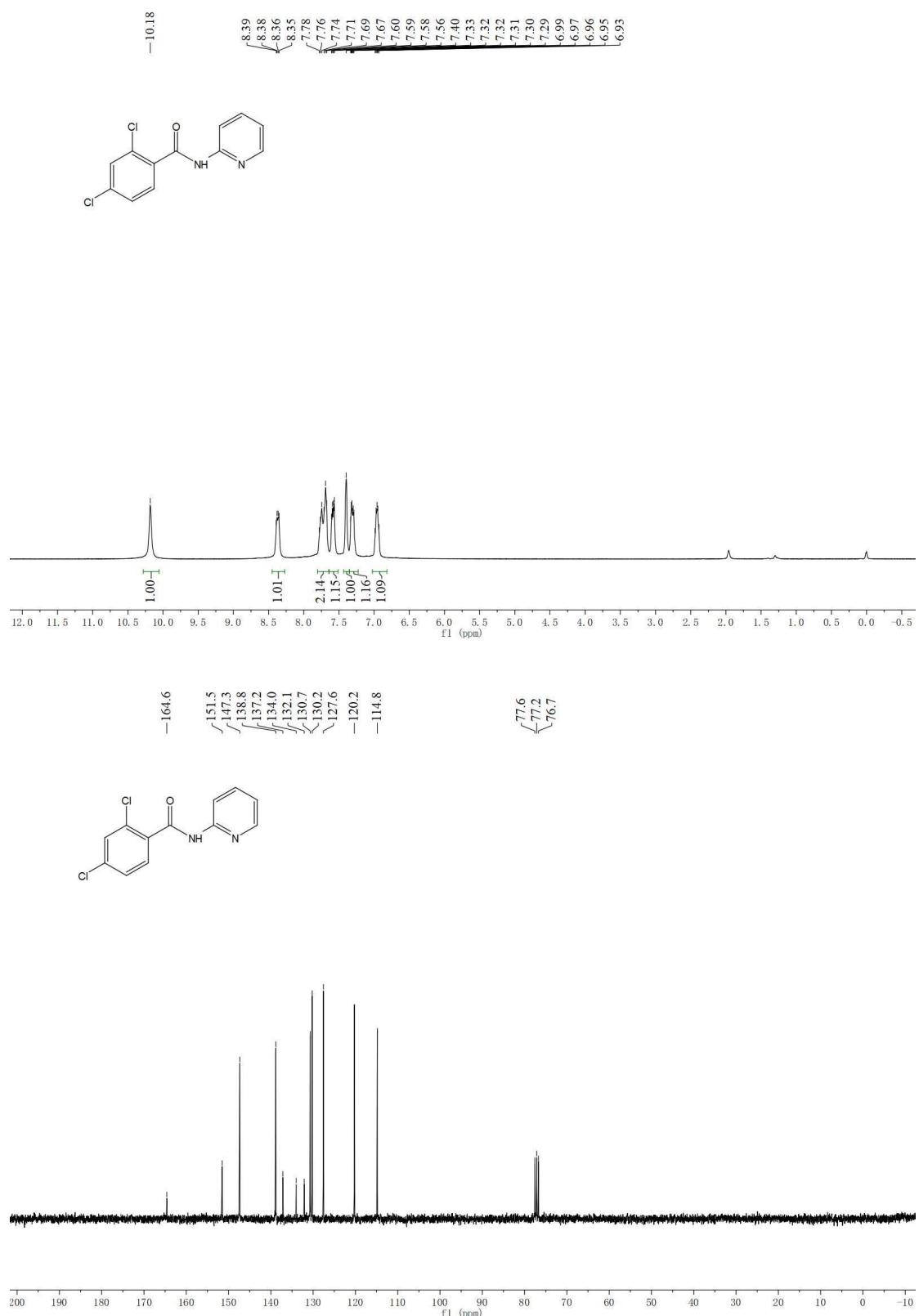
2-Methyl-N-(pyridin-2-yl)benzamide (3ia)



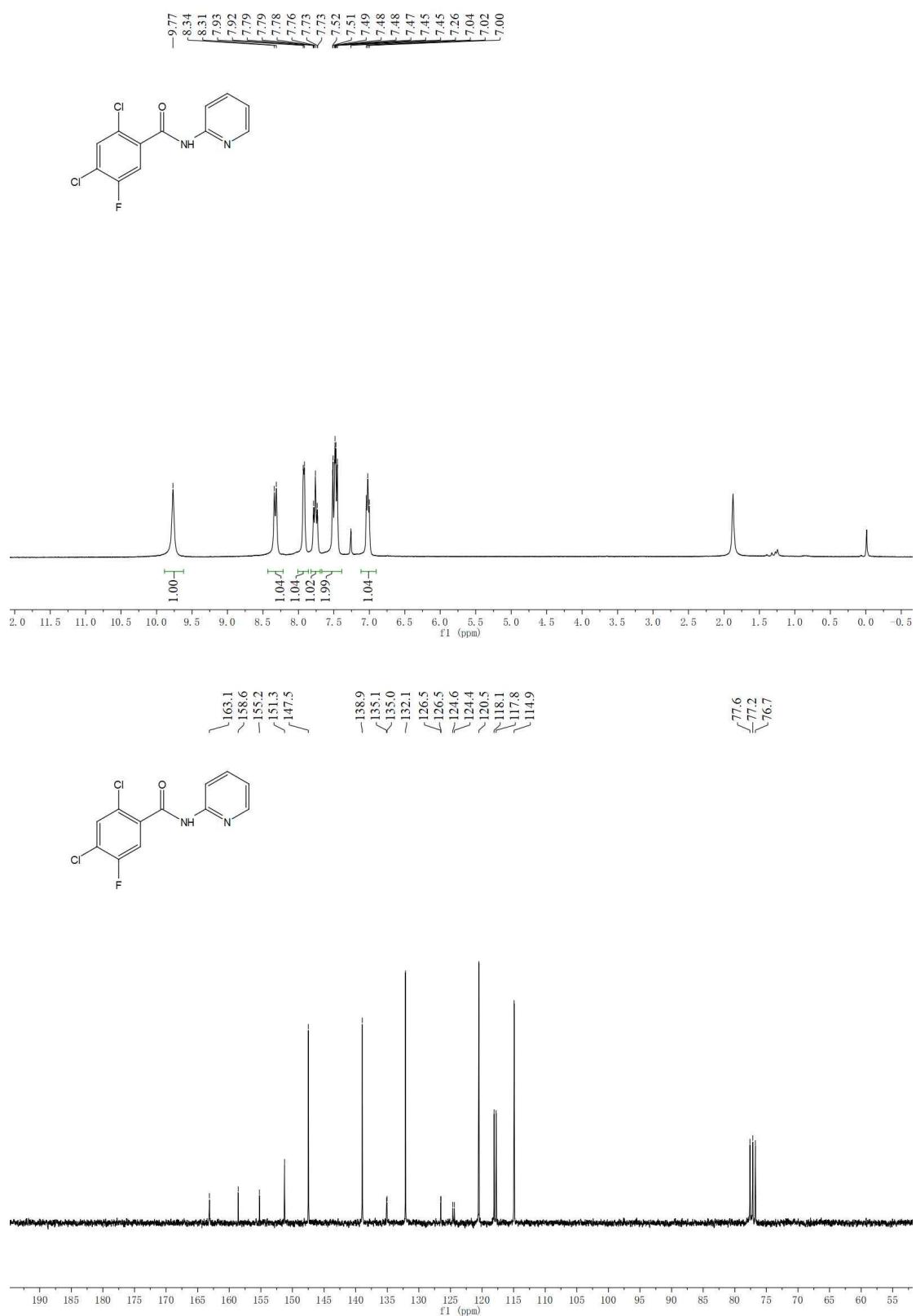
2-Methoxy-N-(pyridin-2-yl)benzamide (3ja)



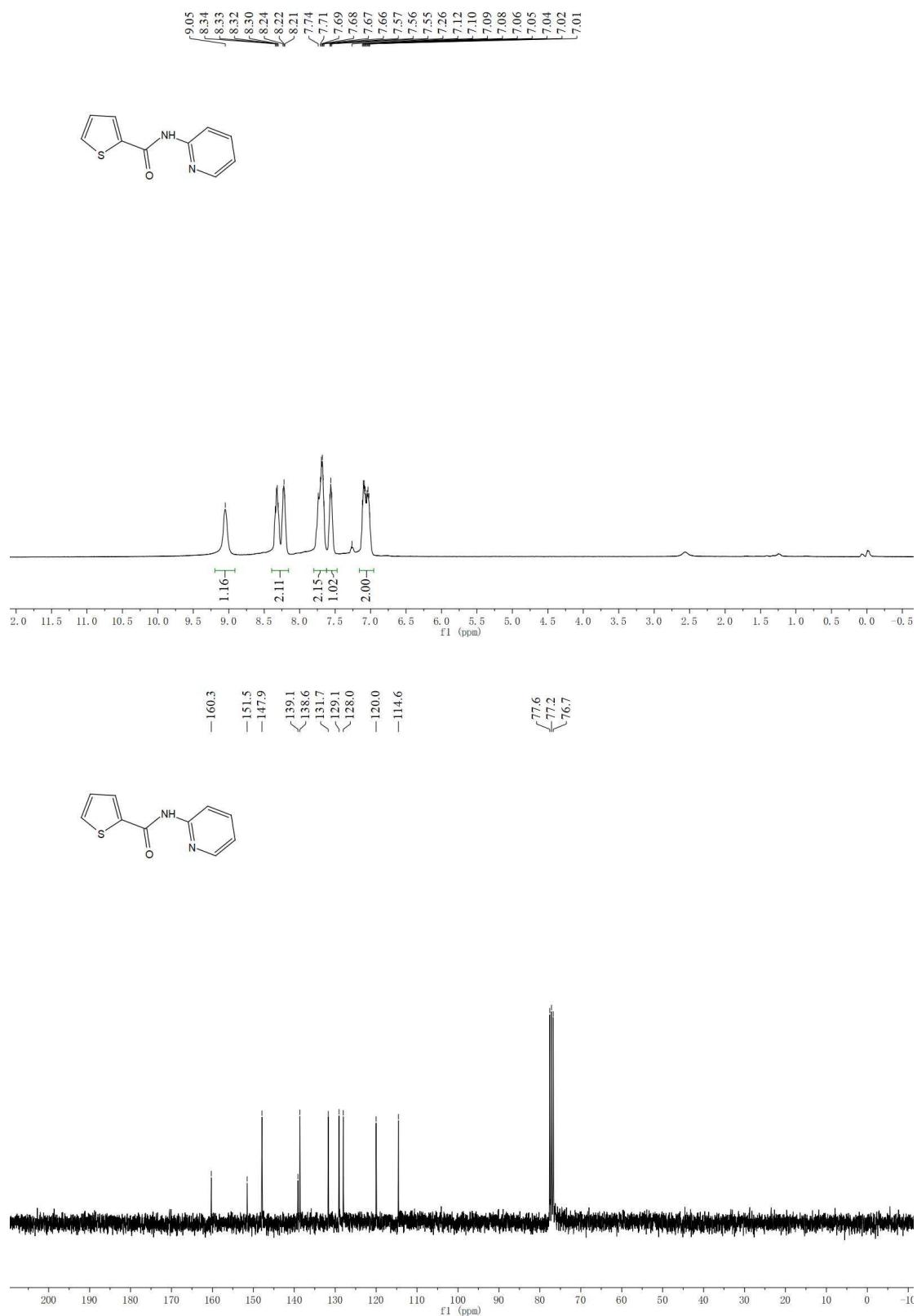
2,4-Dichloro-N-(pyridin-2-yl)benzamide (3ka)



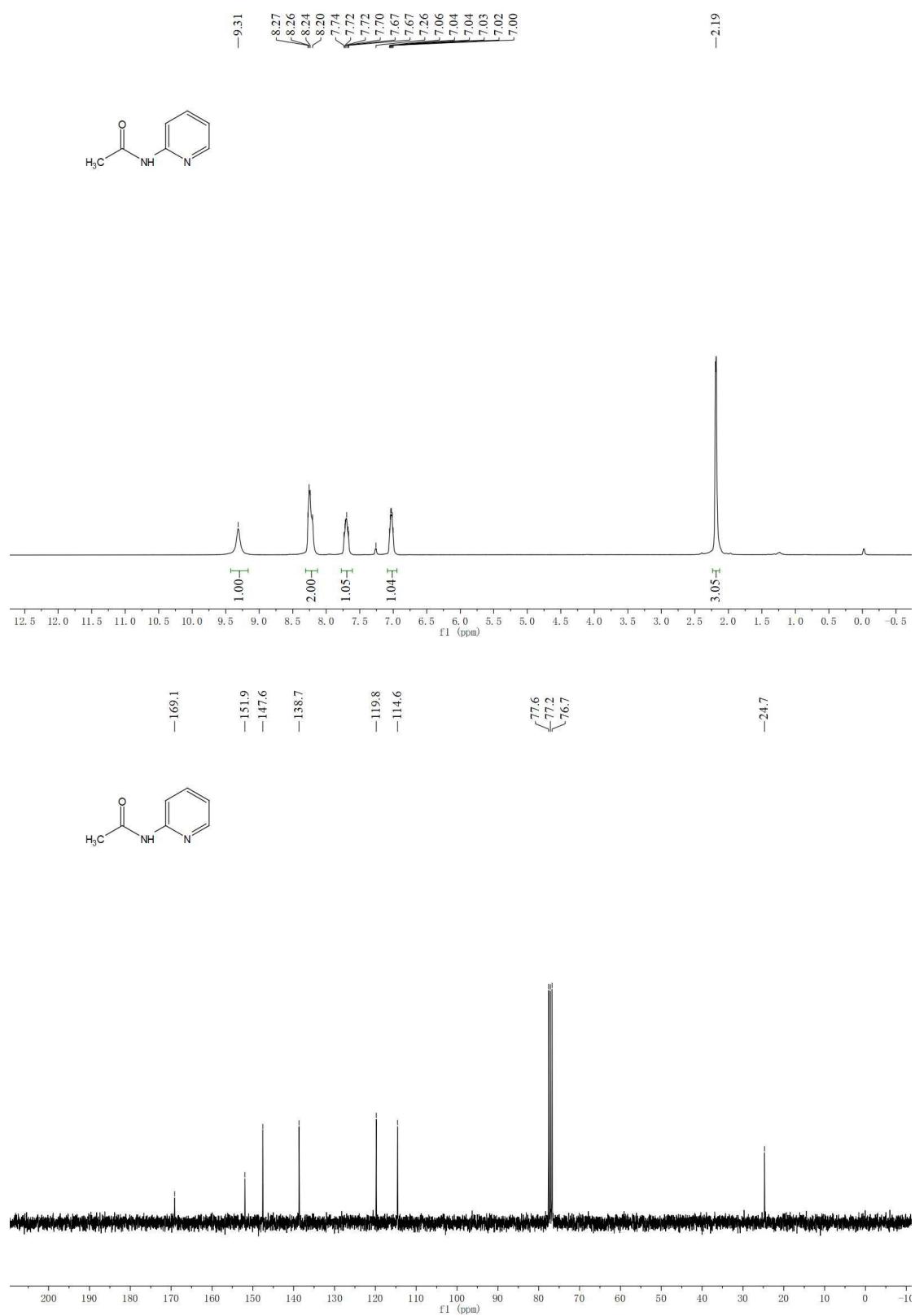
2,4-Dichloro-5-fluoro-N-(pyridin-2-yl)benzamide (3la)



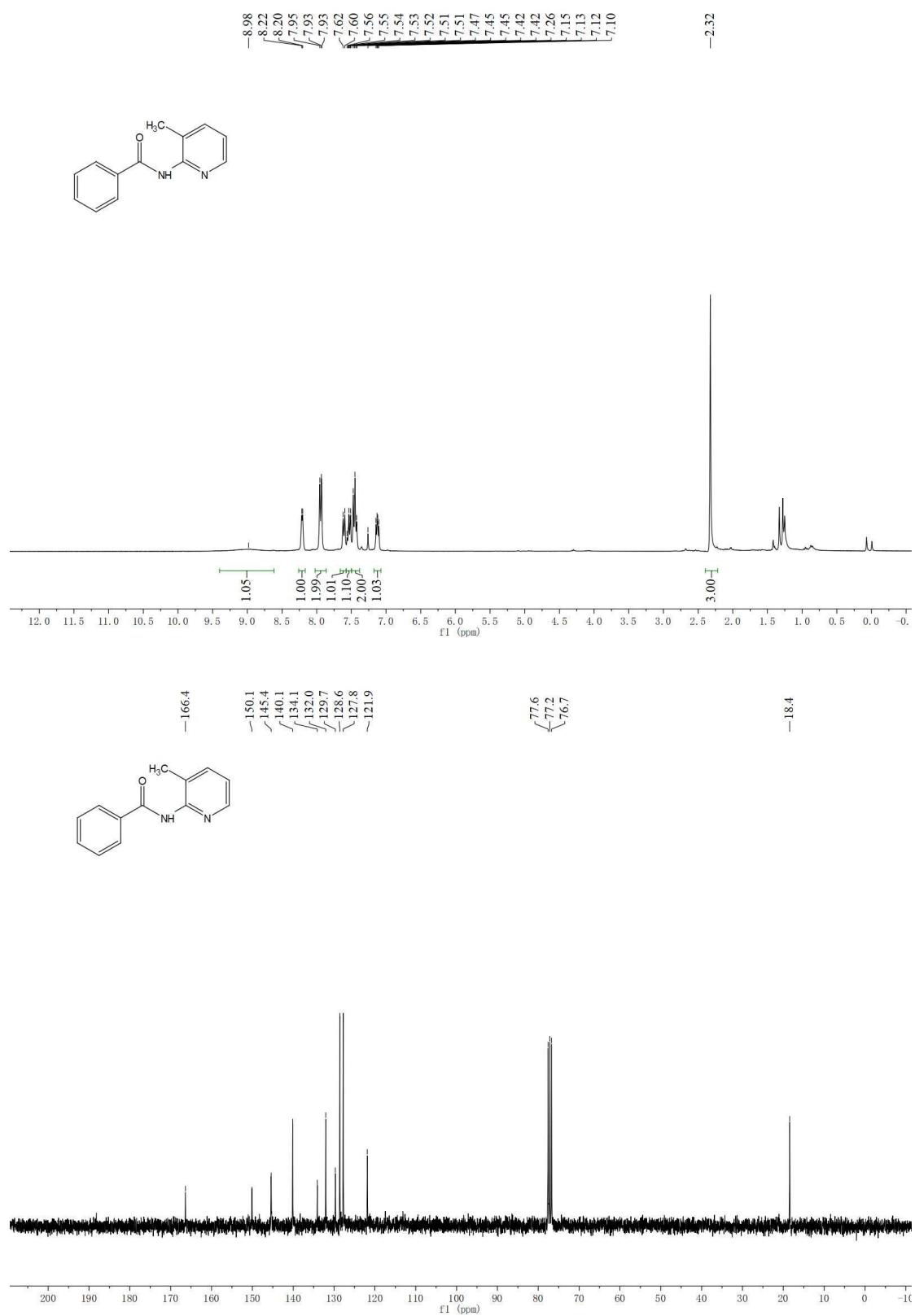
N-(Pyridin-2-yl)thiophene-2-carboxamide (3ma)



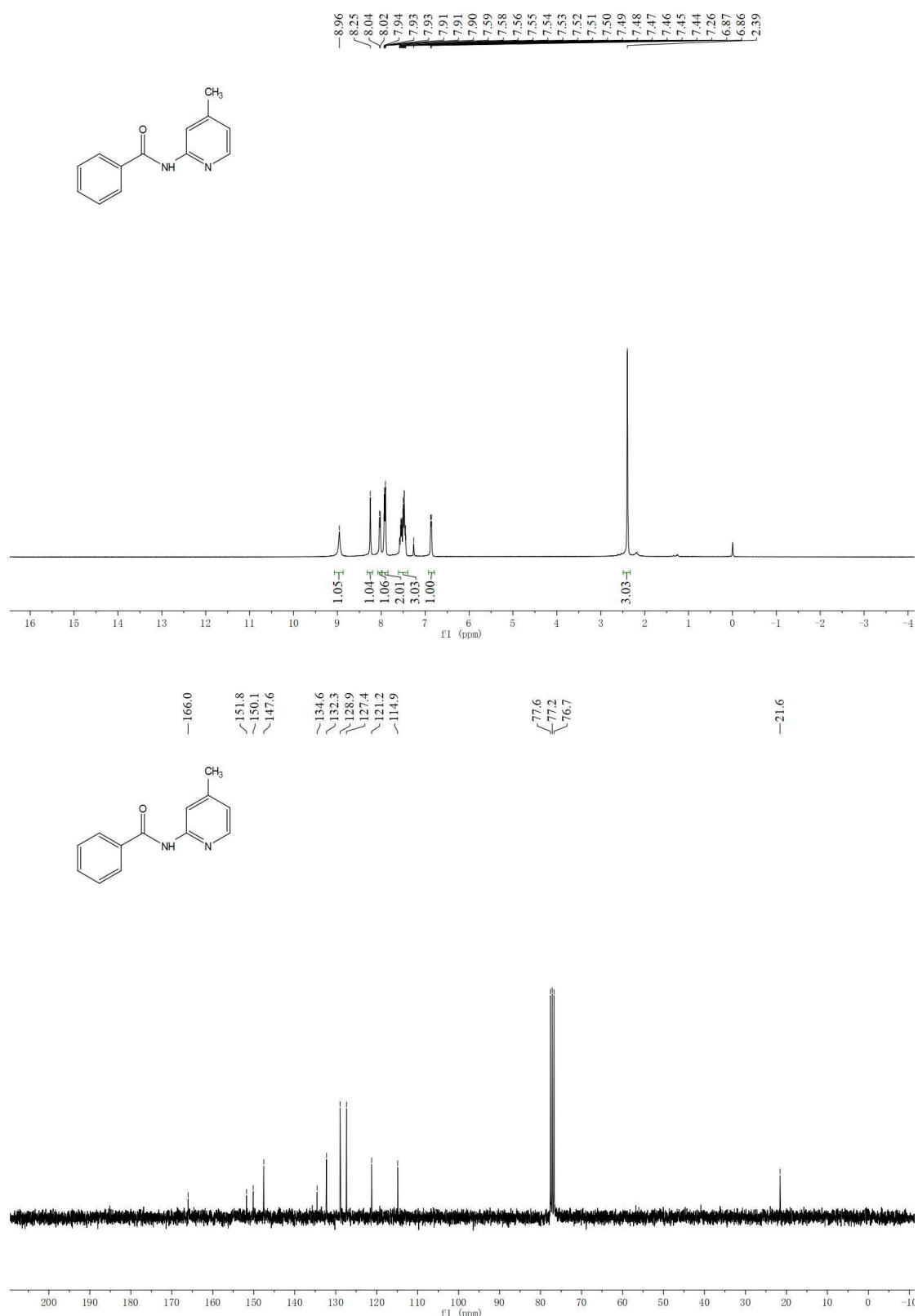
N-(Pyridin-2-yl)acetamide (3na)



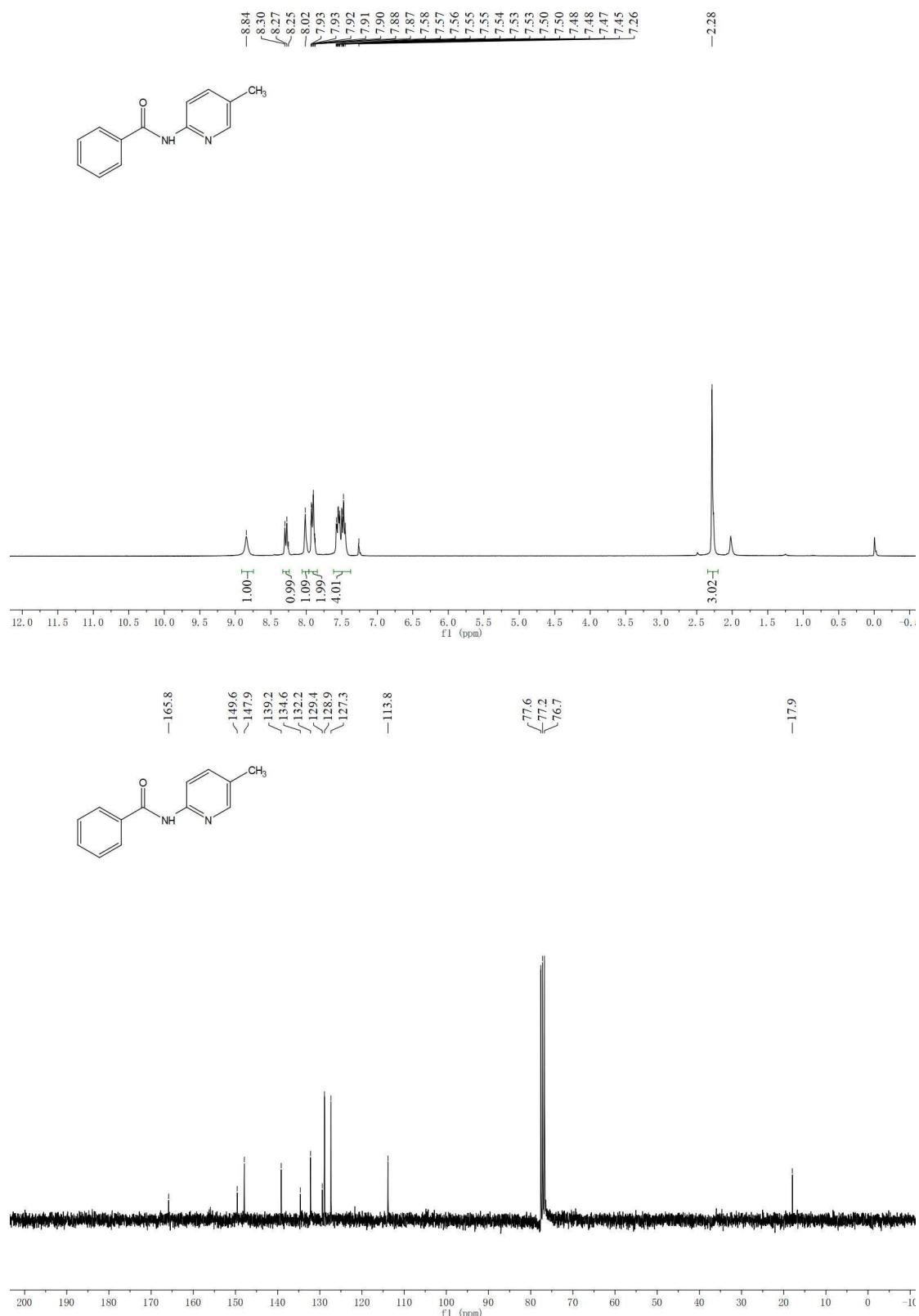
N-(3-Methylpyridin-2-yl)benzamide (3ab)



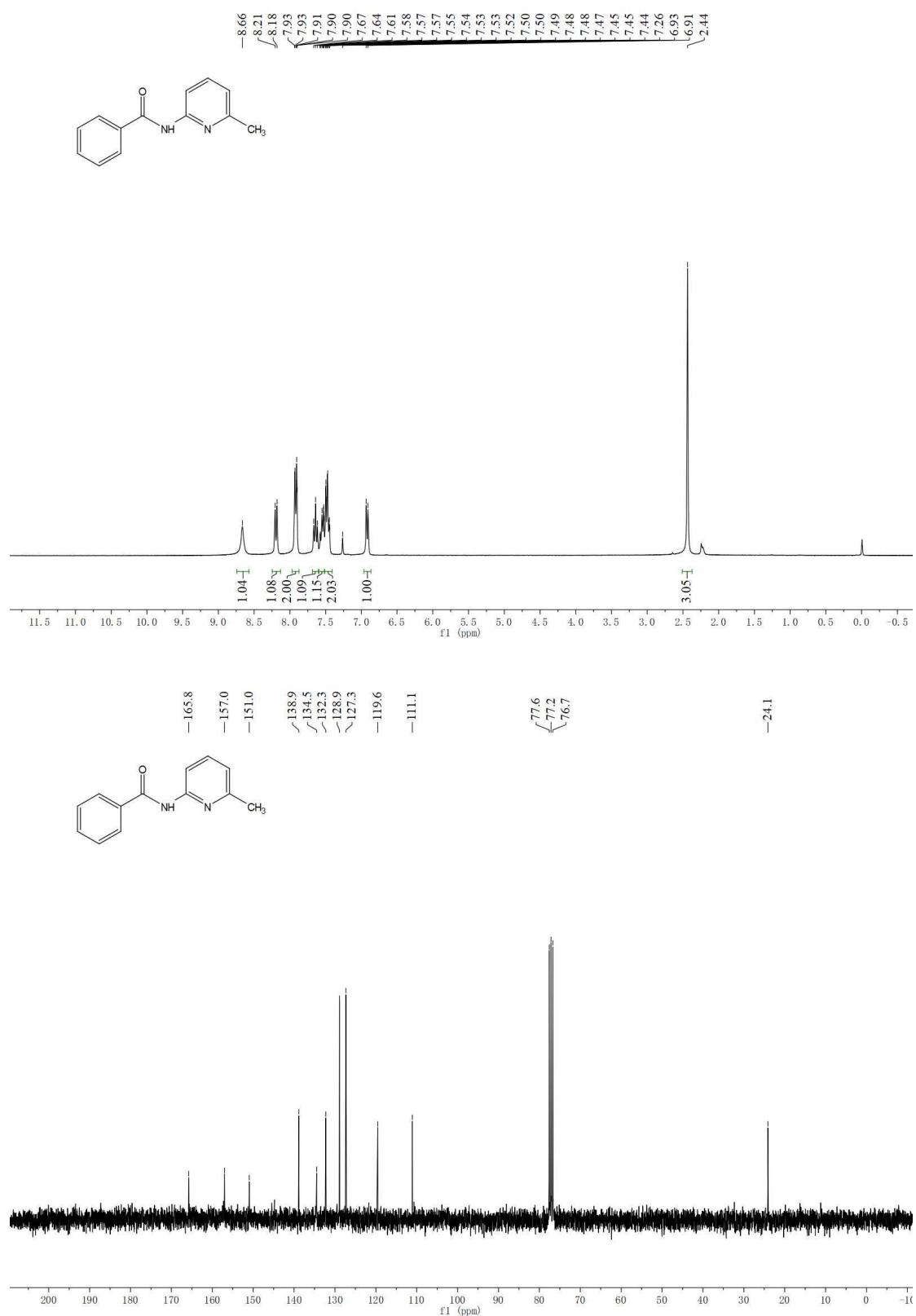
N-(4-Methylpyridin-2-yl)benzamide (3ac)



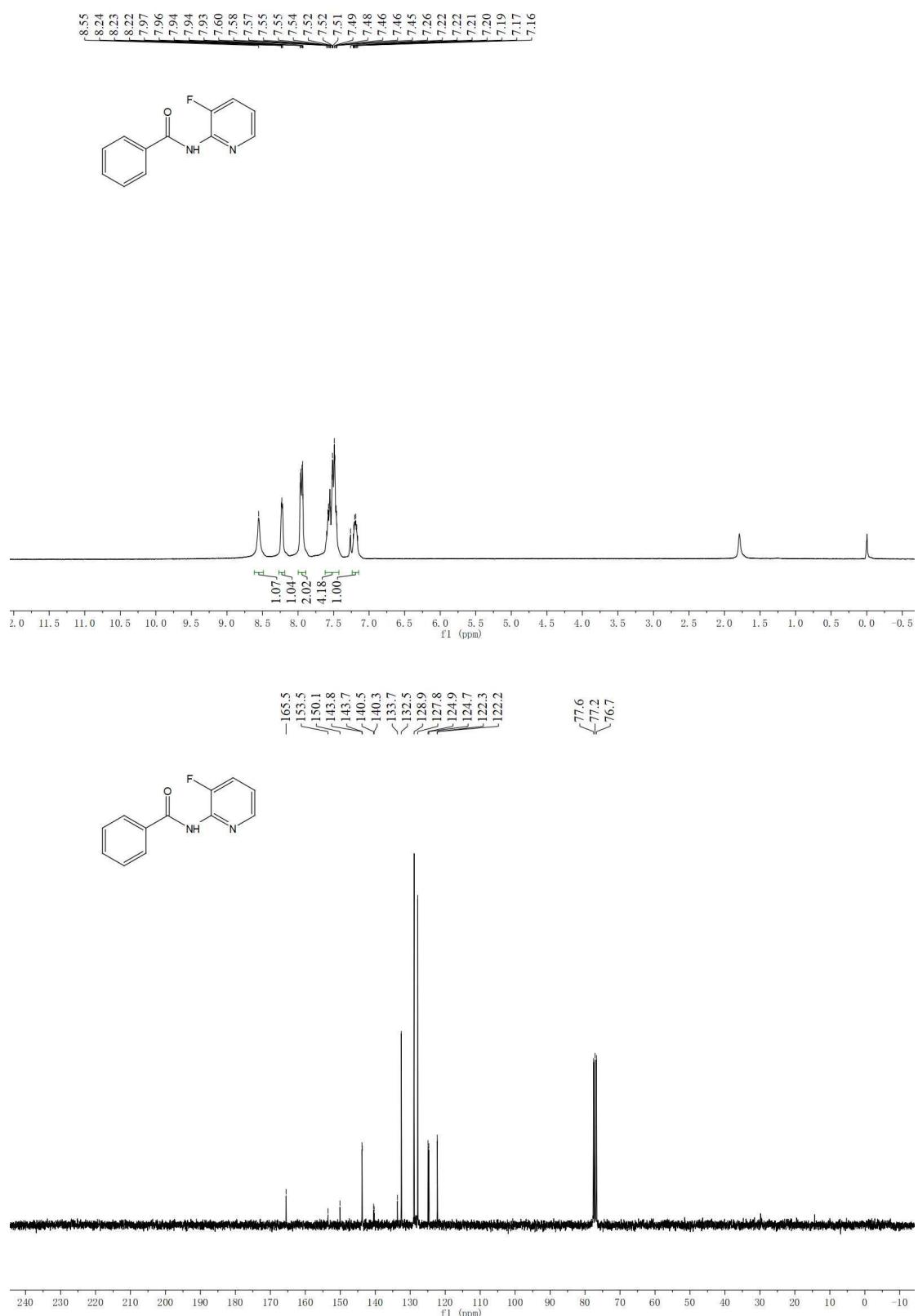
N-(5-Methylpyridin-2-yl)benzamide (3ad)



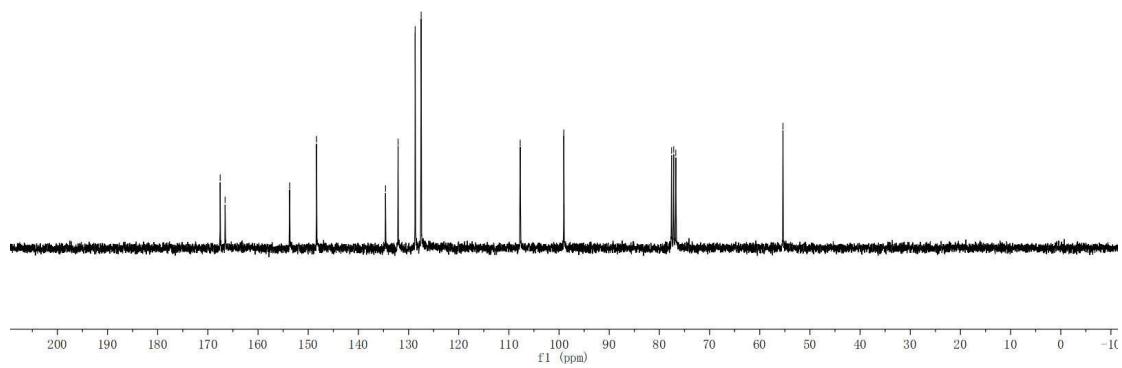
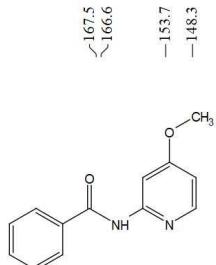
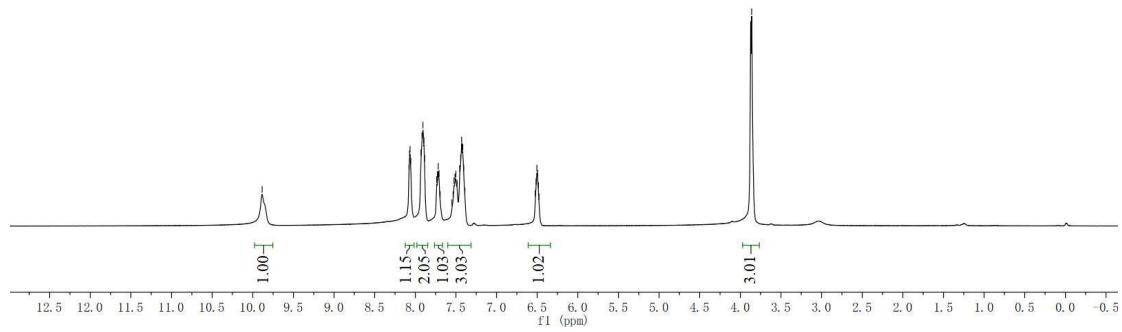
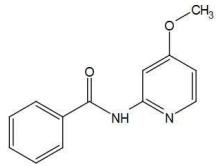
N-(6-Methylpyridin-2-yl)benzamide (3ae)



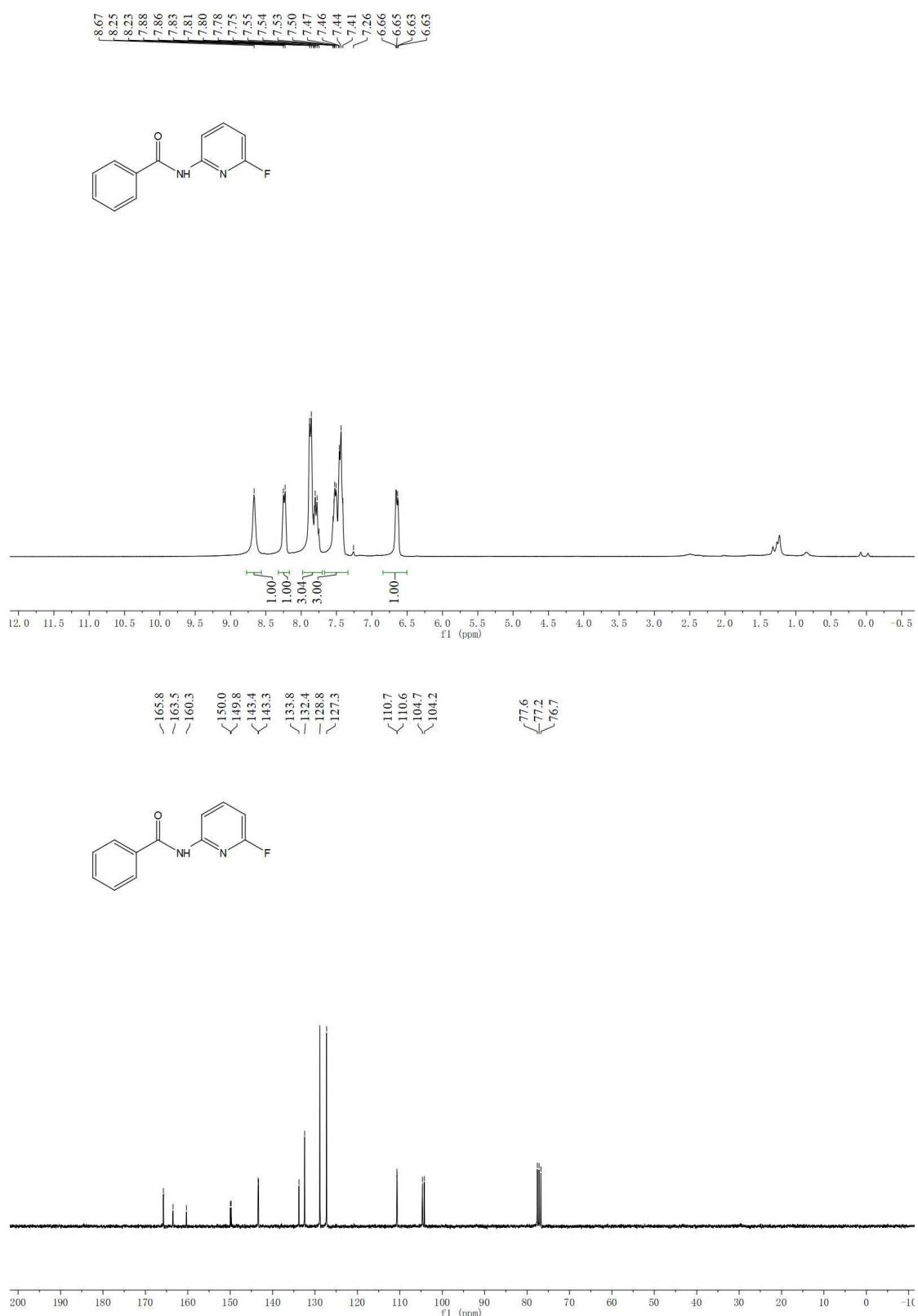
N-(3-Fluoropyridin-2-yl)benzamide (3af)



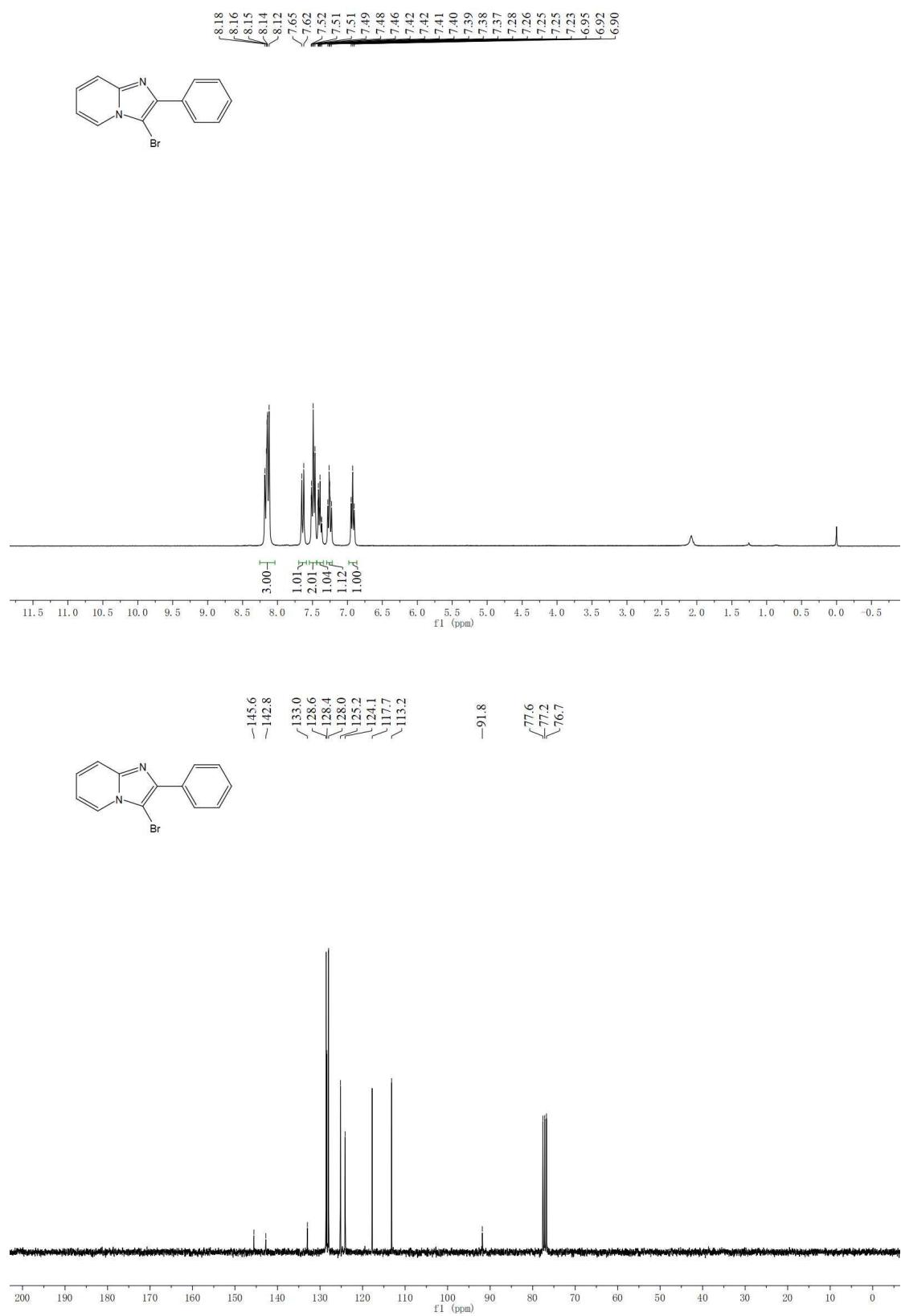
***N*-(4-Methoxypyridin-2-yl)benzamide (3ag)**



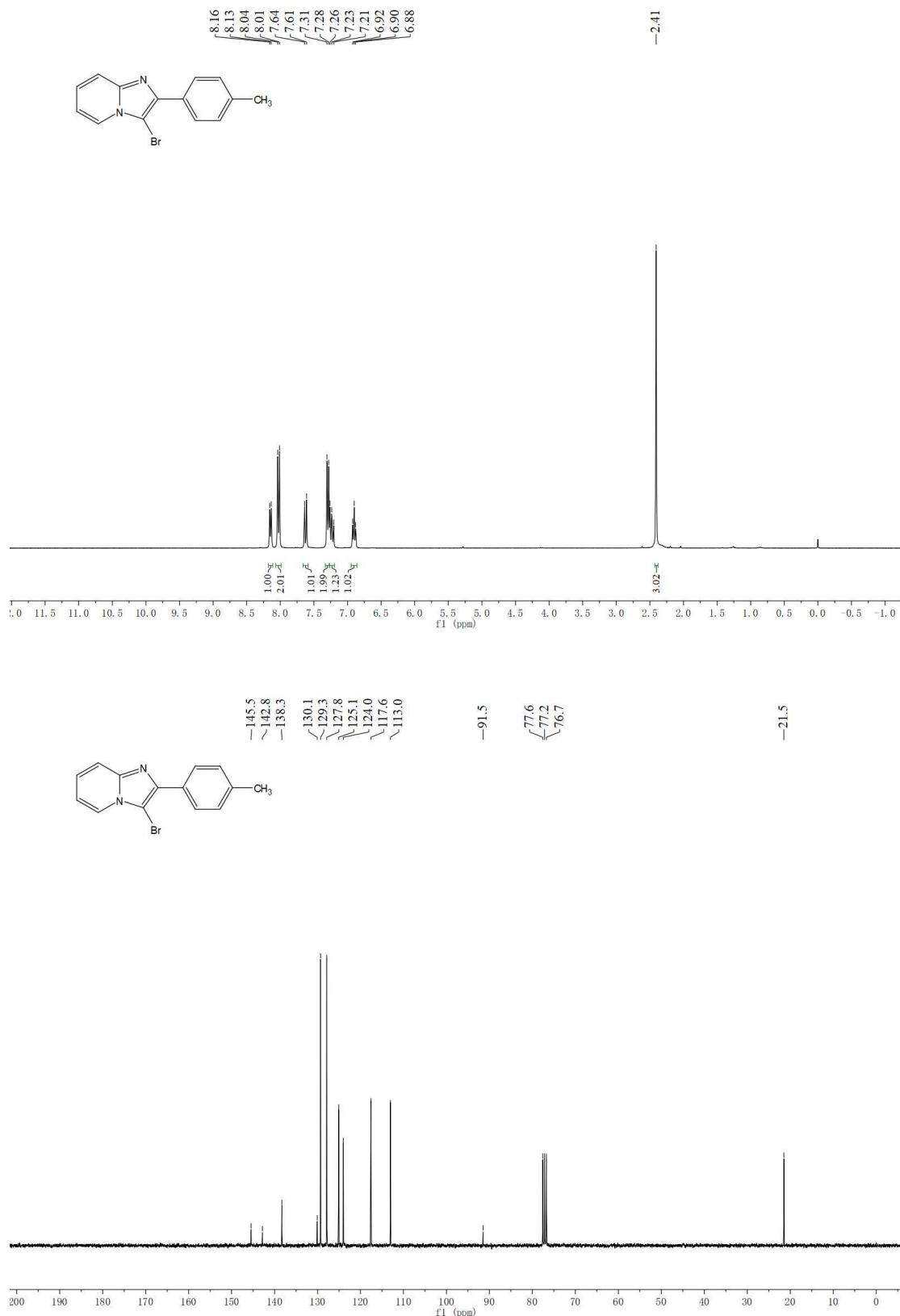
N-(6-Fluoropyridin-2-yl)benzamide (3ah)



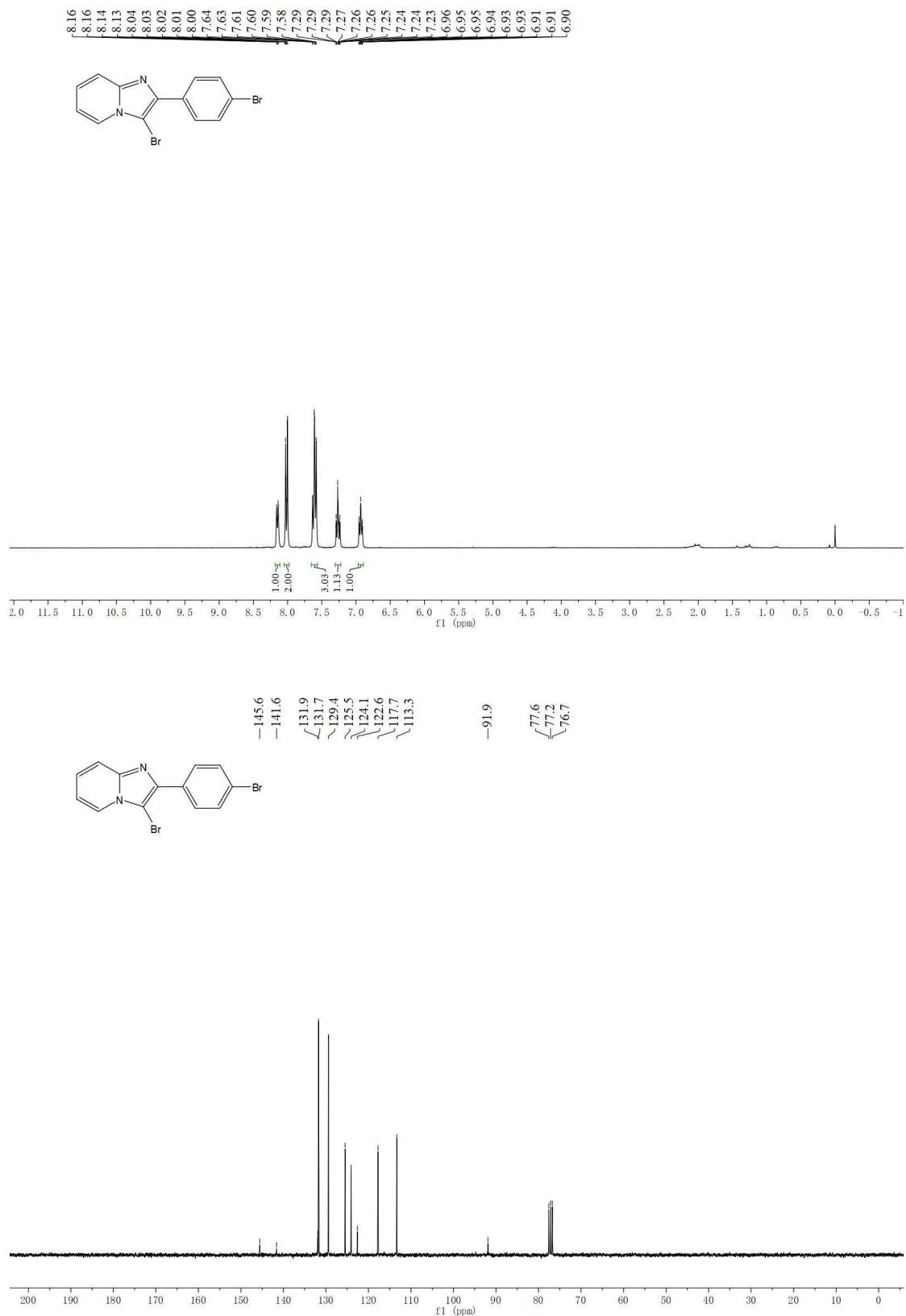
3-Bromo-2-phenylimidazo[1,2-a]pyridine (4aa)



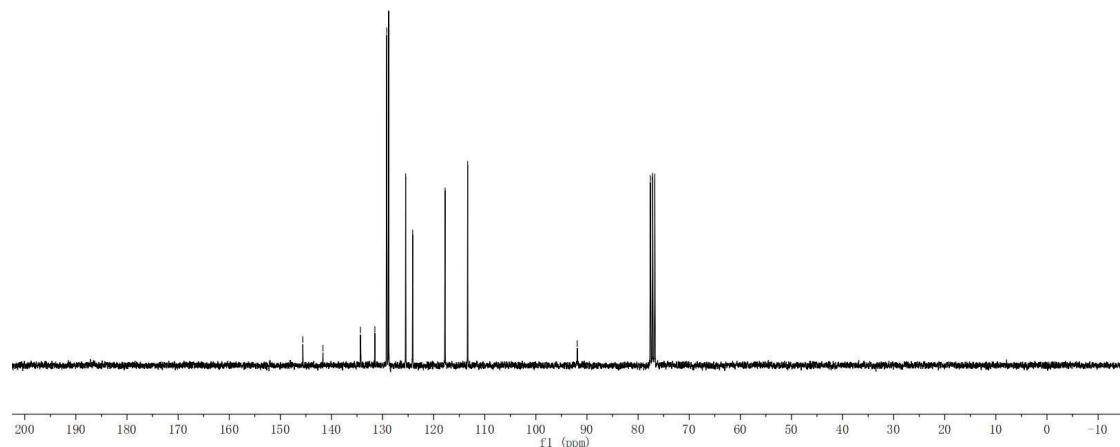
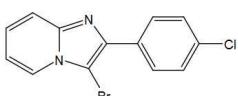
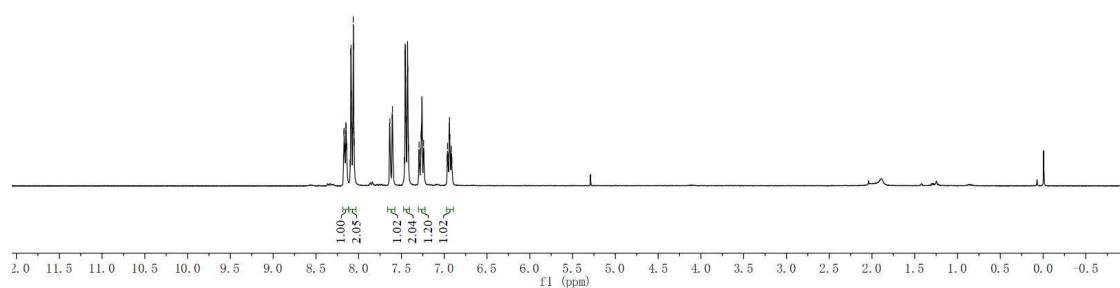
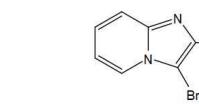
3-Bromo-2-(p-tolyl)imidazo[1,2-a]pyridine (4ba)



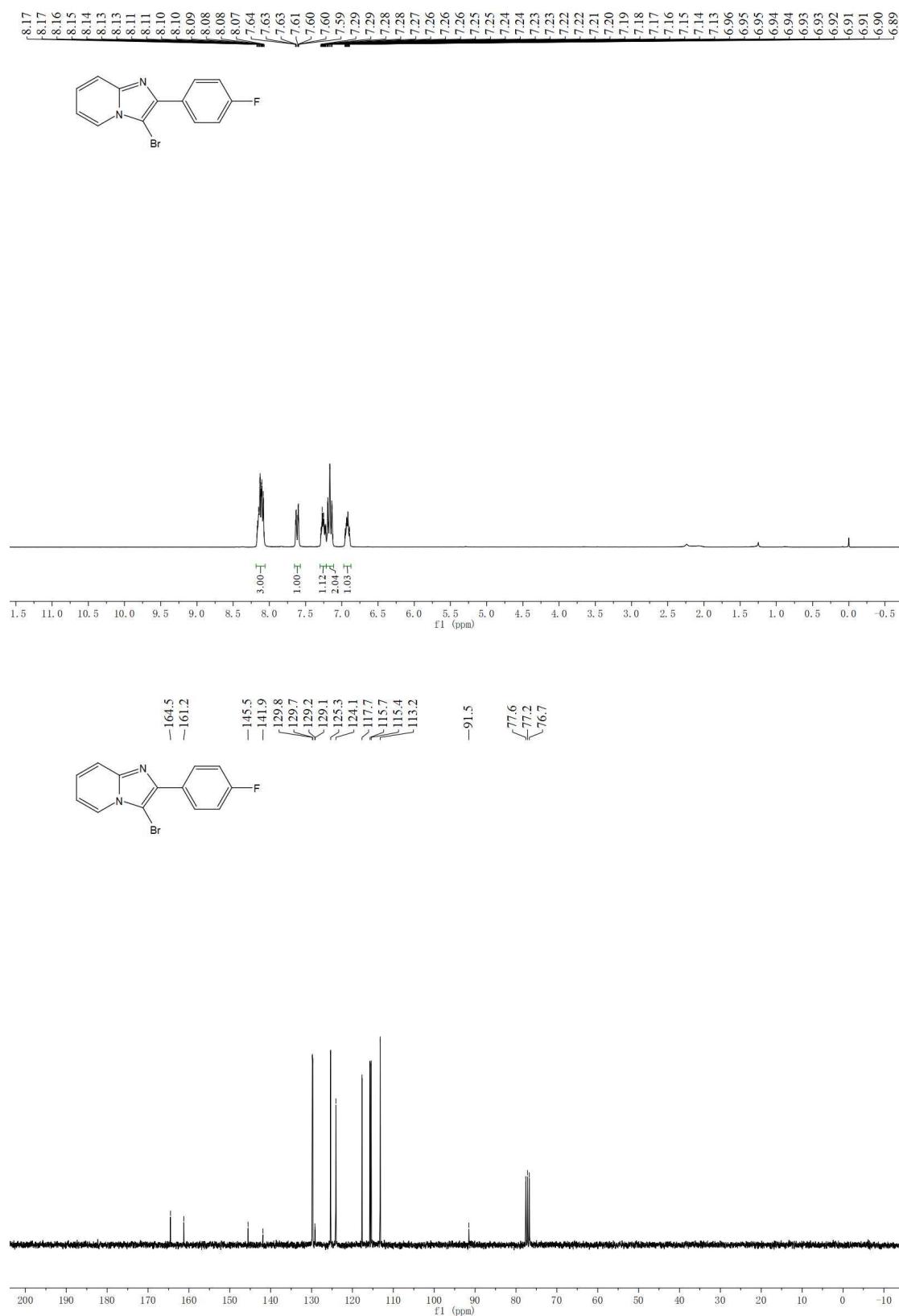
3-Bromo-2-(4-bromophenyl)imidazo[1,2-a]pyridine (4ca)



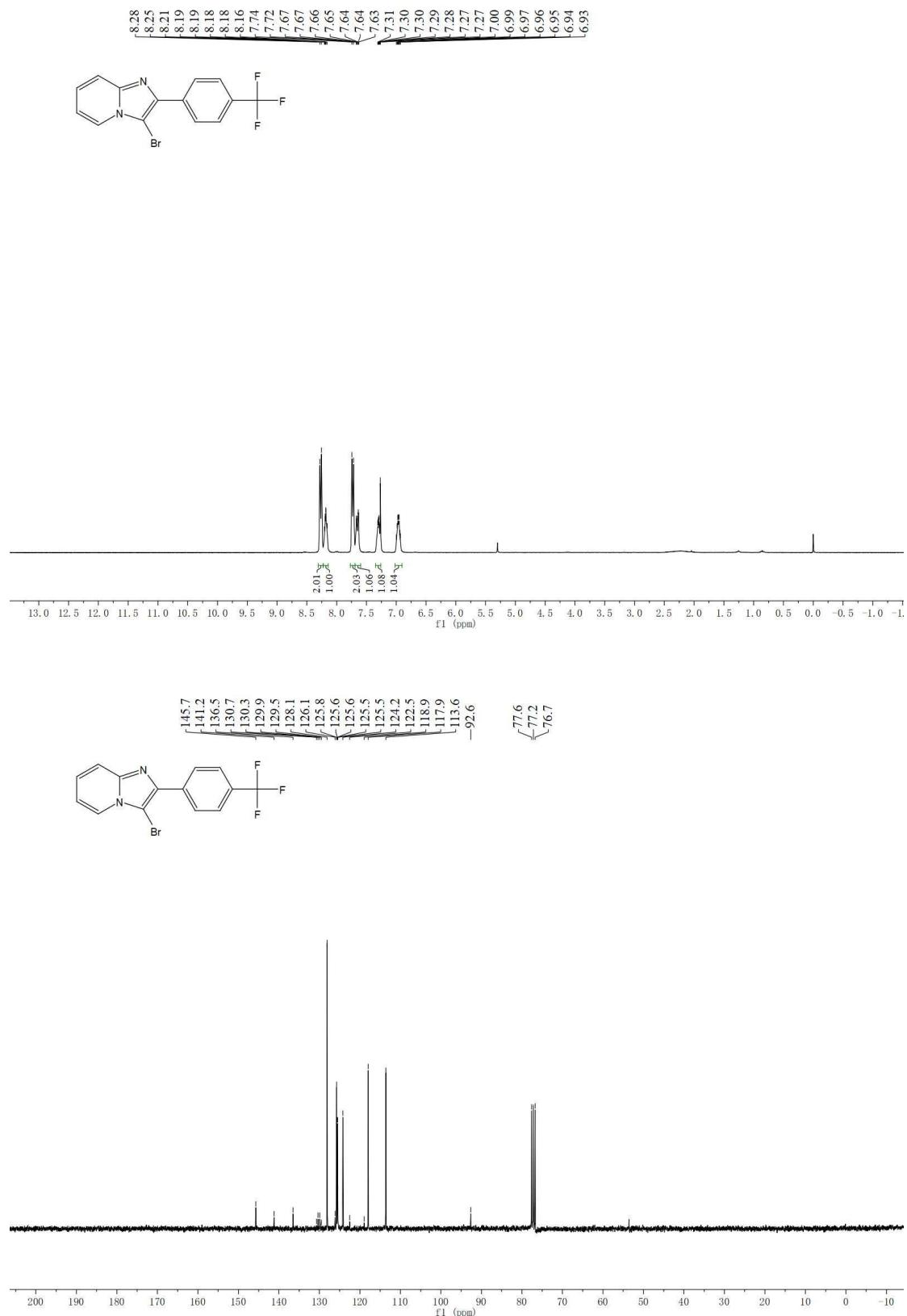
3-Bromo-2-(4-chlorophenyl)imidazo[1,2-a]pyridine (4da)



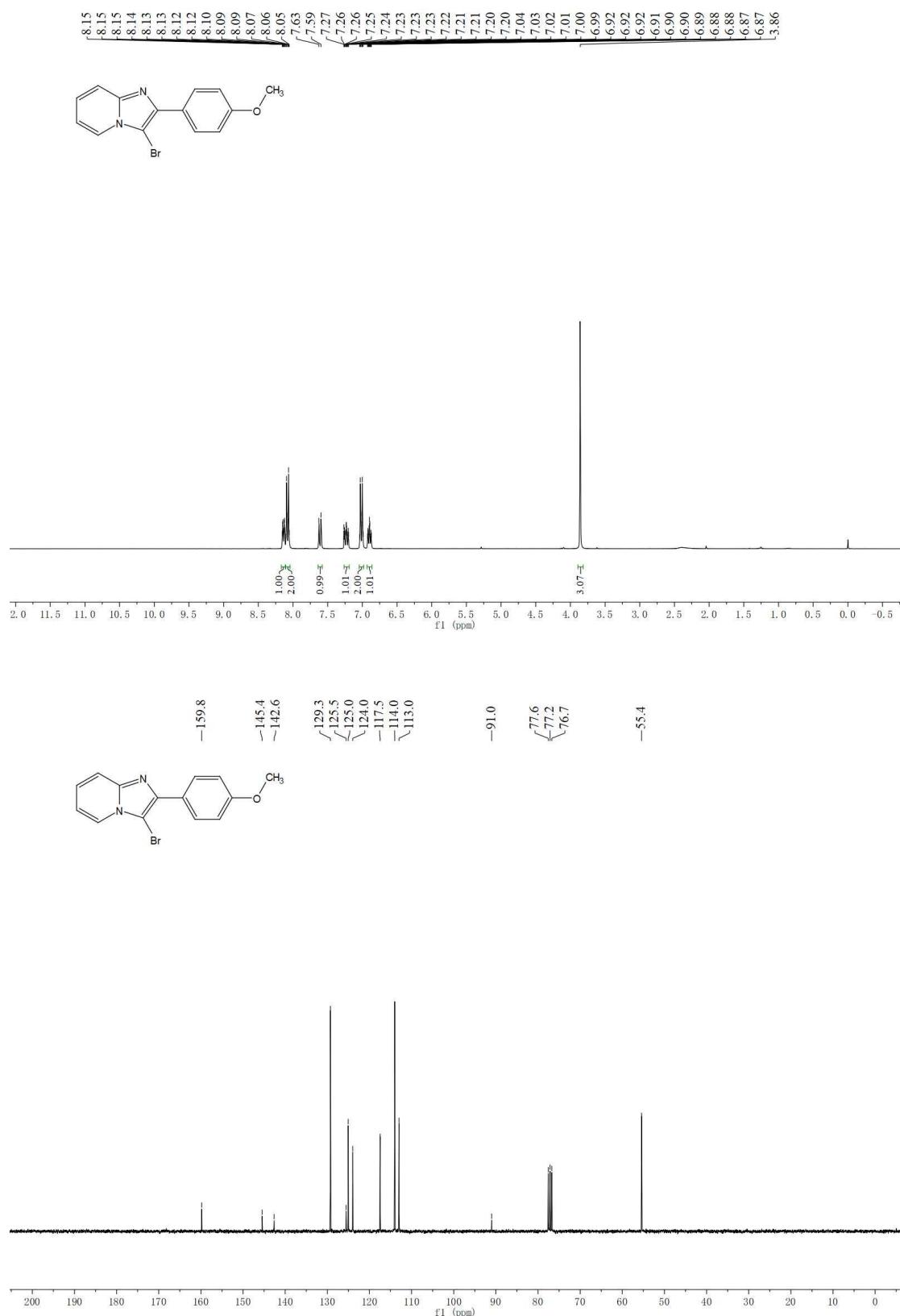
3-Bromo-2-(4-fluorophenyl)imidazo[1,2-a]pyridine (4ea)



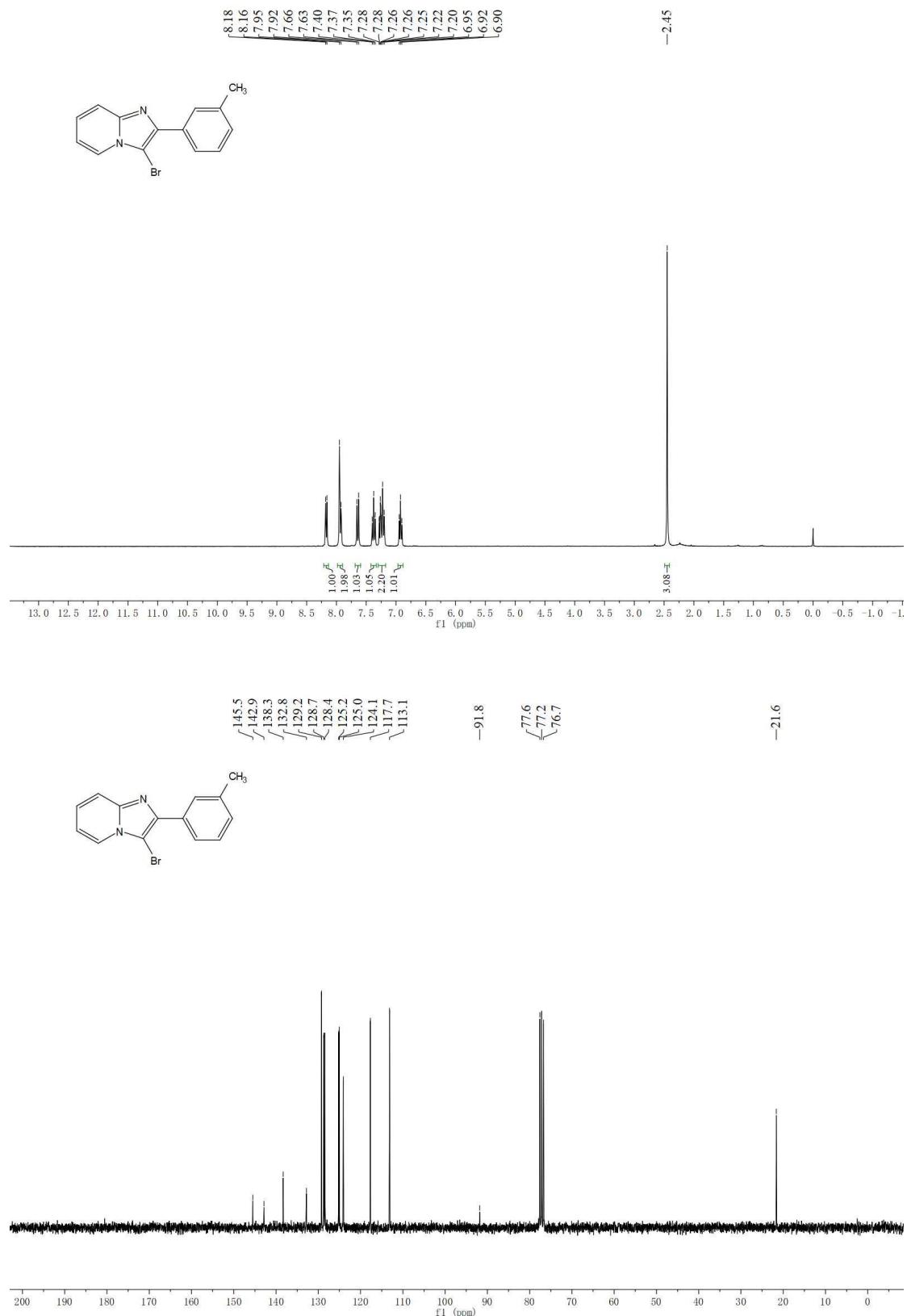
3-Bromo-2-(4-(trifluoromethyl)phenyl)imidazo[1,2-a]pyridine (4fa)



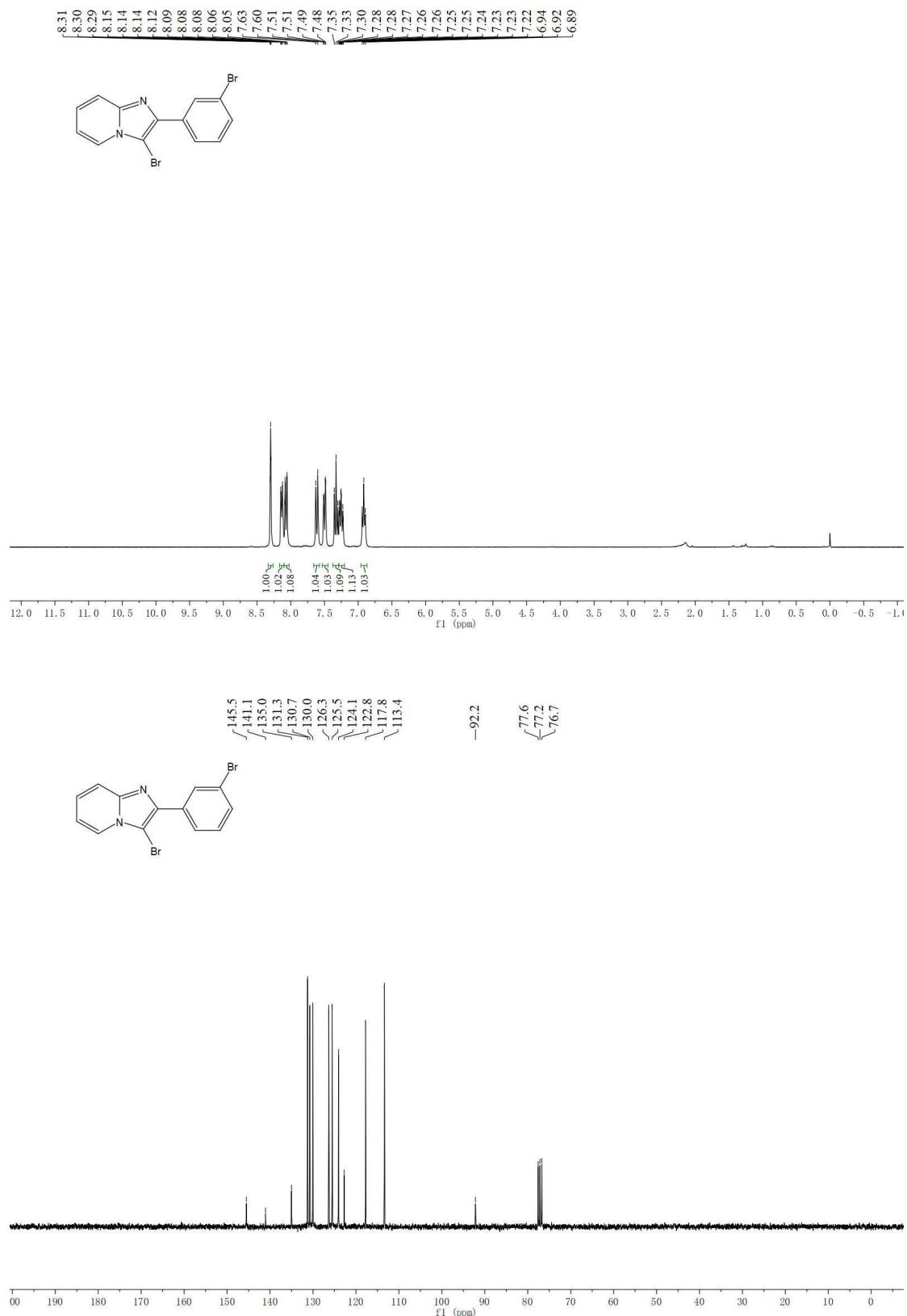
3-Bromo-2-(4-methoxyphenyl)imidazo[1,2-a]pyridine (4ga)



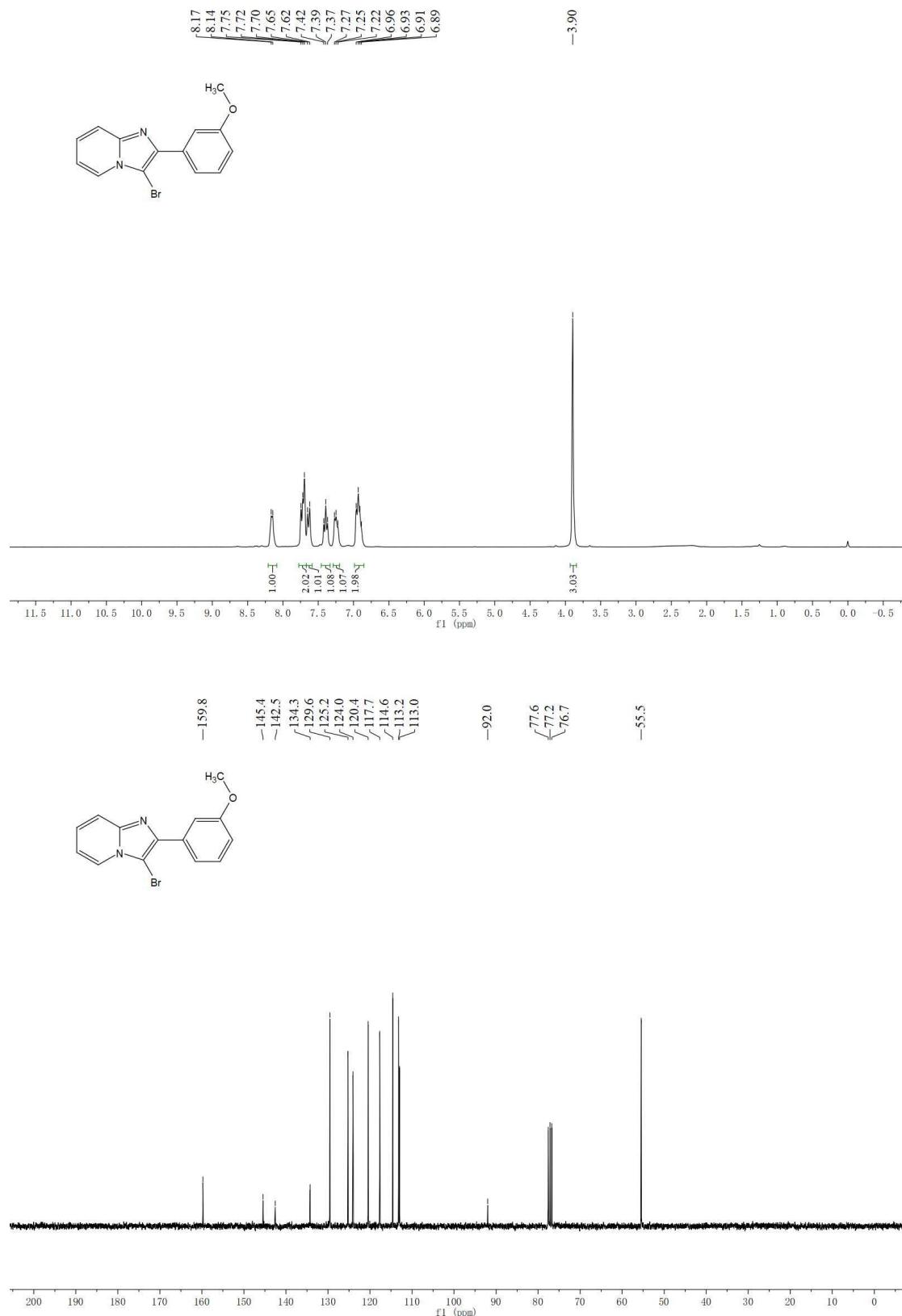
3-Bromo-2-(m-tolyl)imidazo[1,2-a]pyridine (4ha)



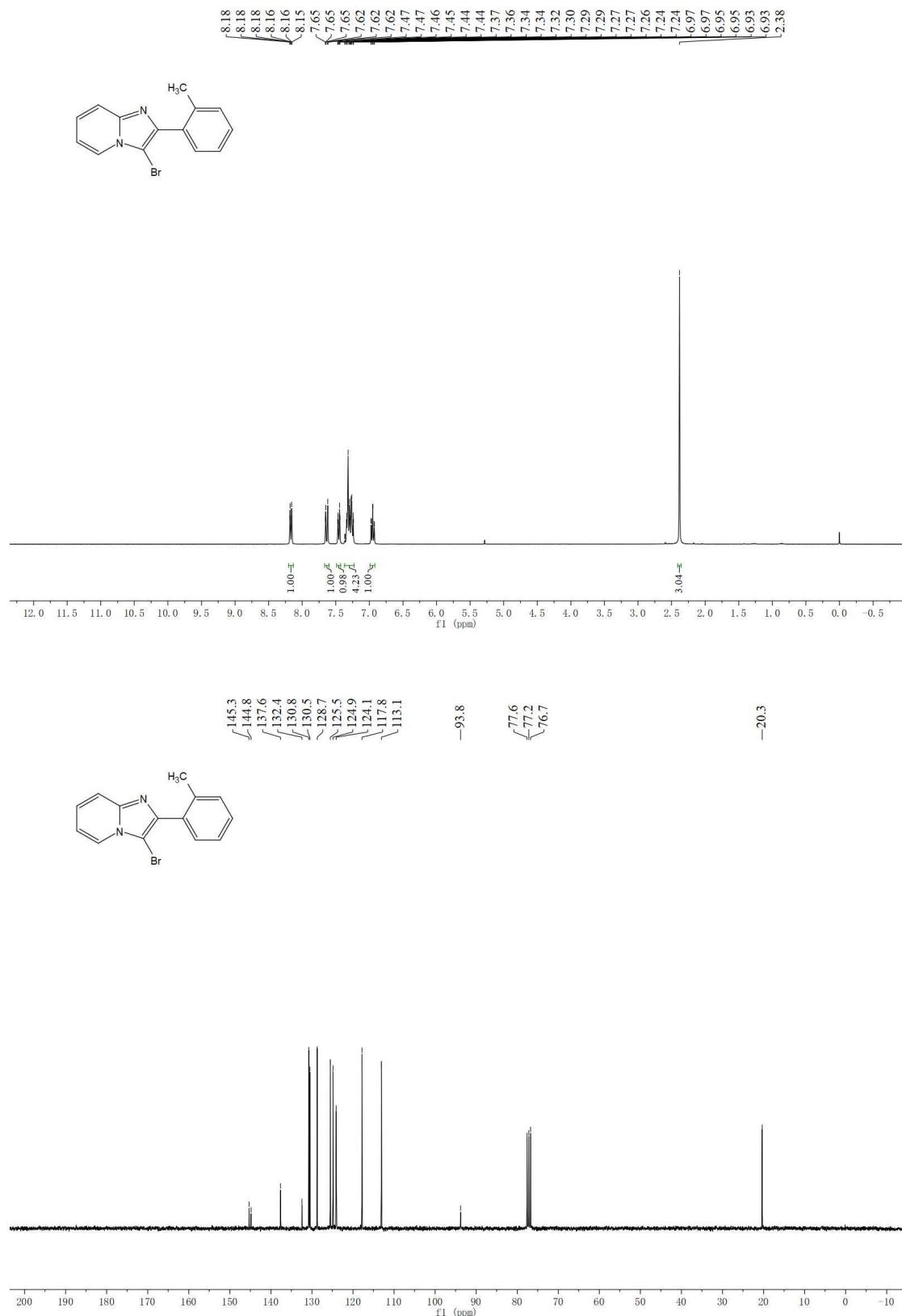
3-Bromo-2-(3-bromophenyl)imidazo[1,2-a]pyridine (4ia)



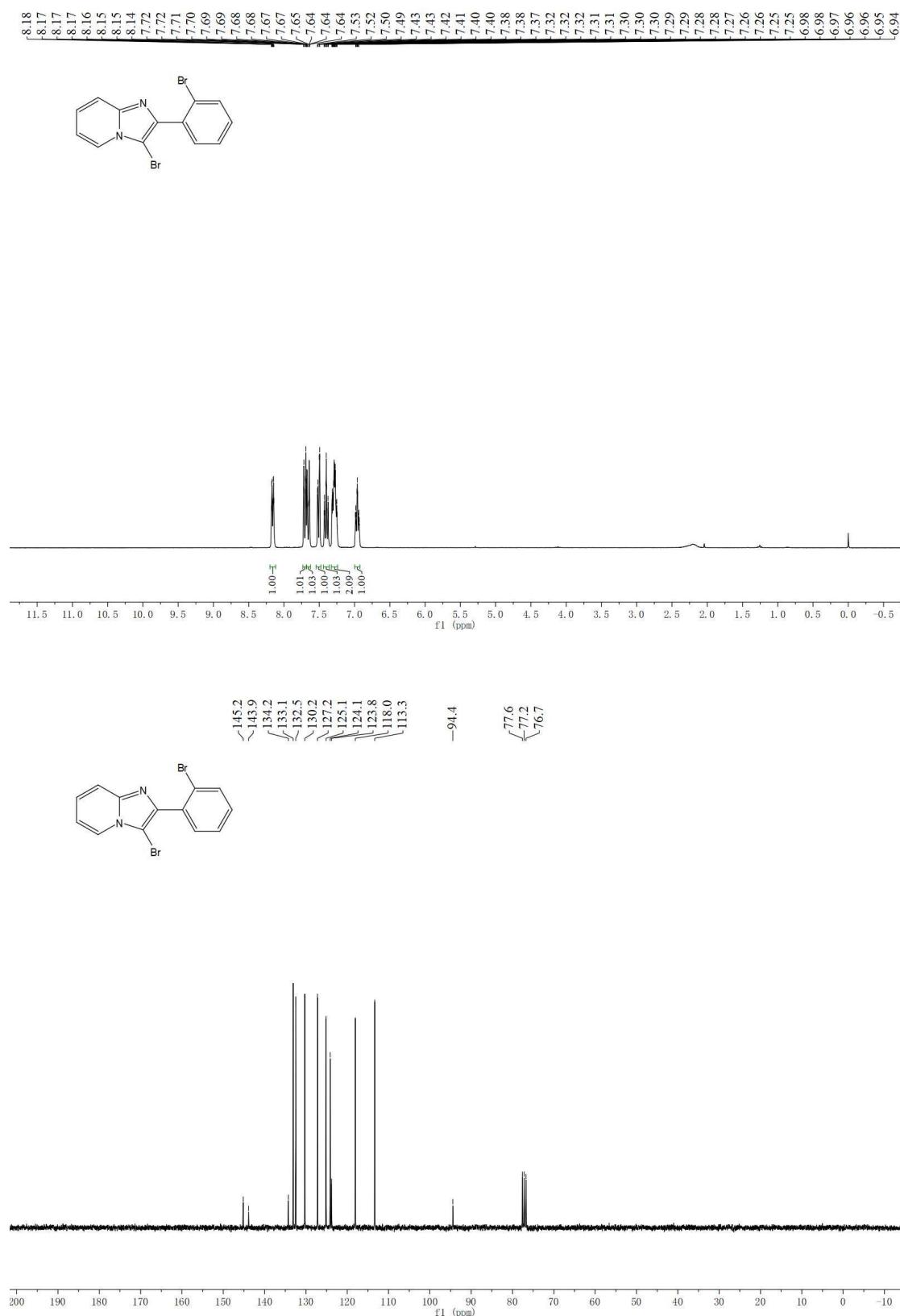
3-Bromo-2-(3-methoxyphenyl)imidazo[1,2-a]pyridine (4ja)



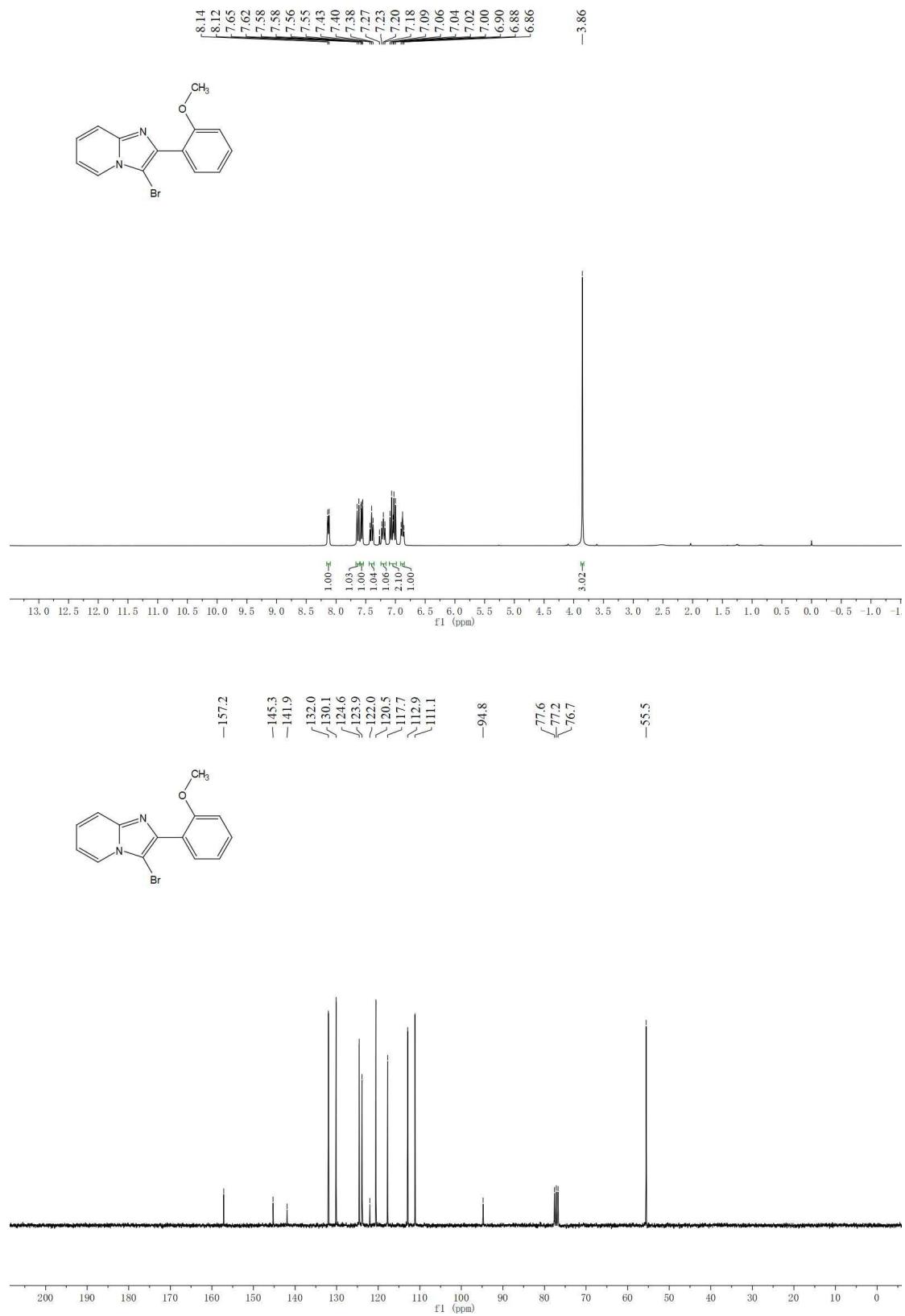
3-Bromo-2-(o-tolyl)imidazo[1,2-a]pyridin (4ka)



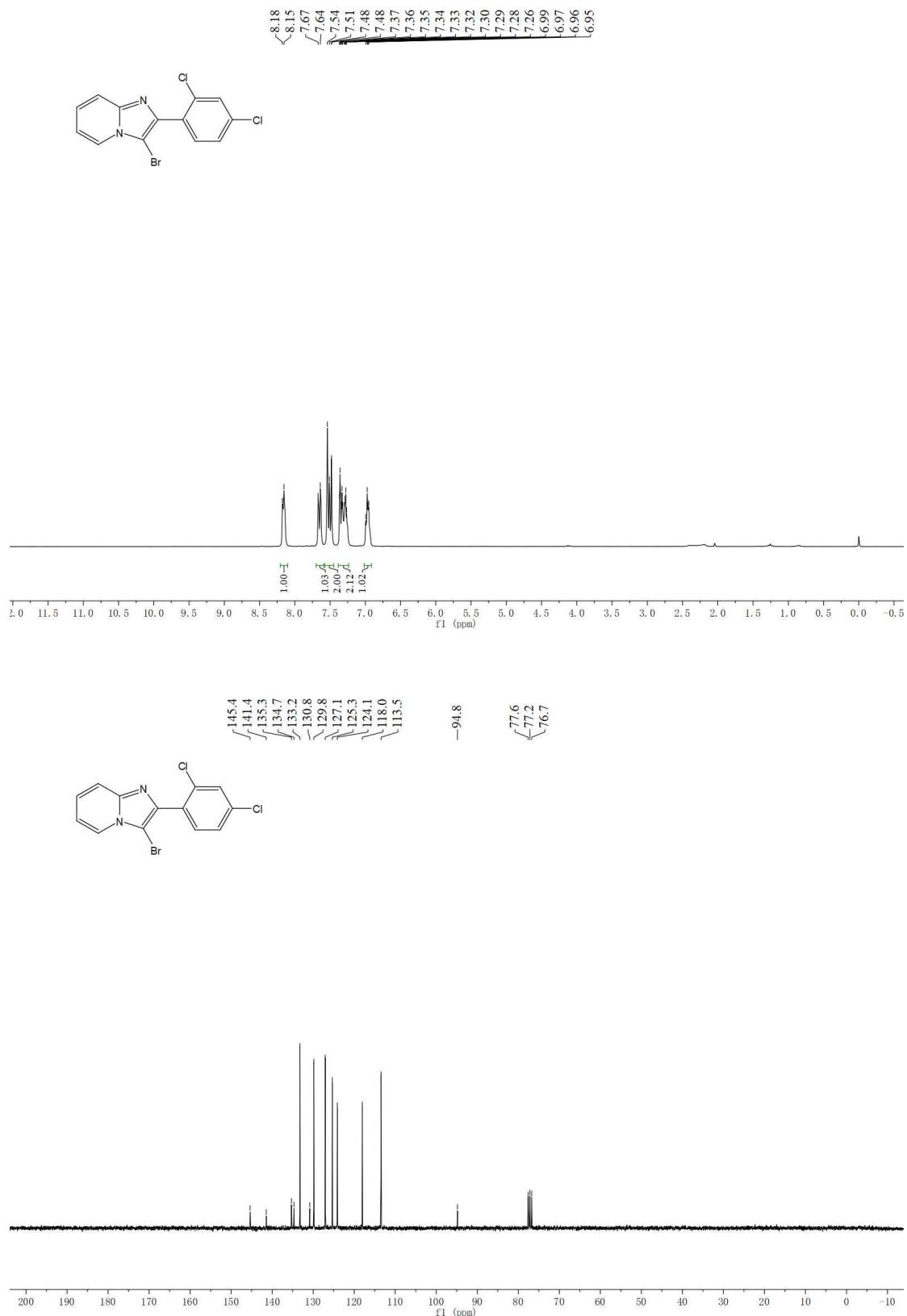
3-Bromo-2-(2-bromophenyl)imidazo[1,2-a]pyridine (4la)



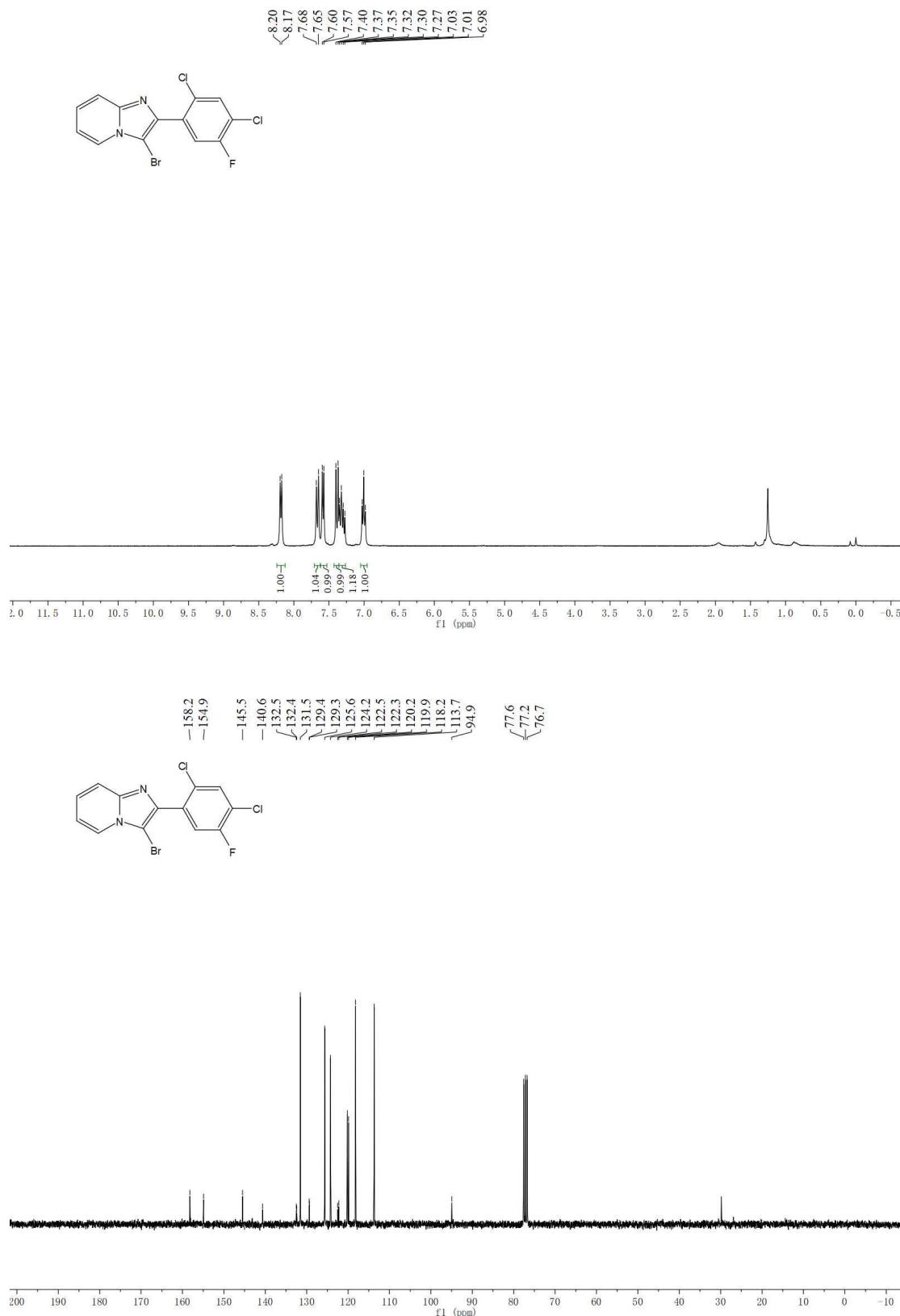
3-Bromo-2-(2-methoxyphenyl)imidazo[1,2-a]pyridine (4ma)



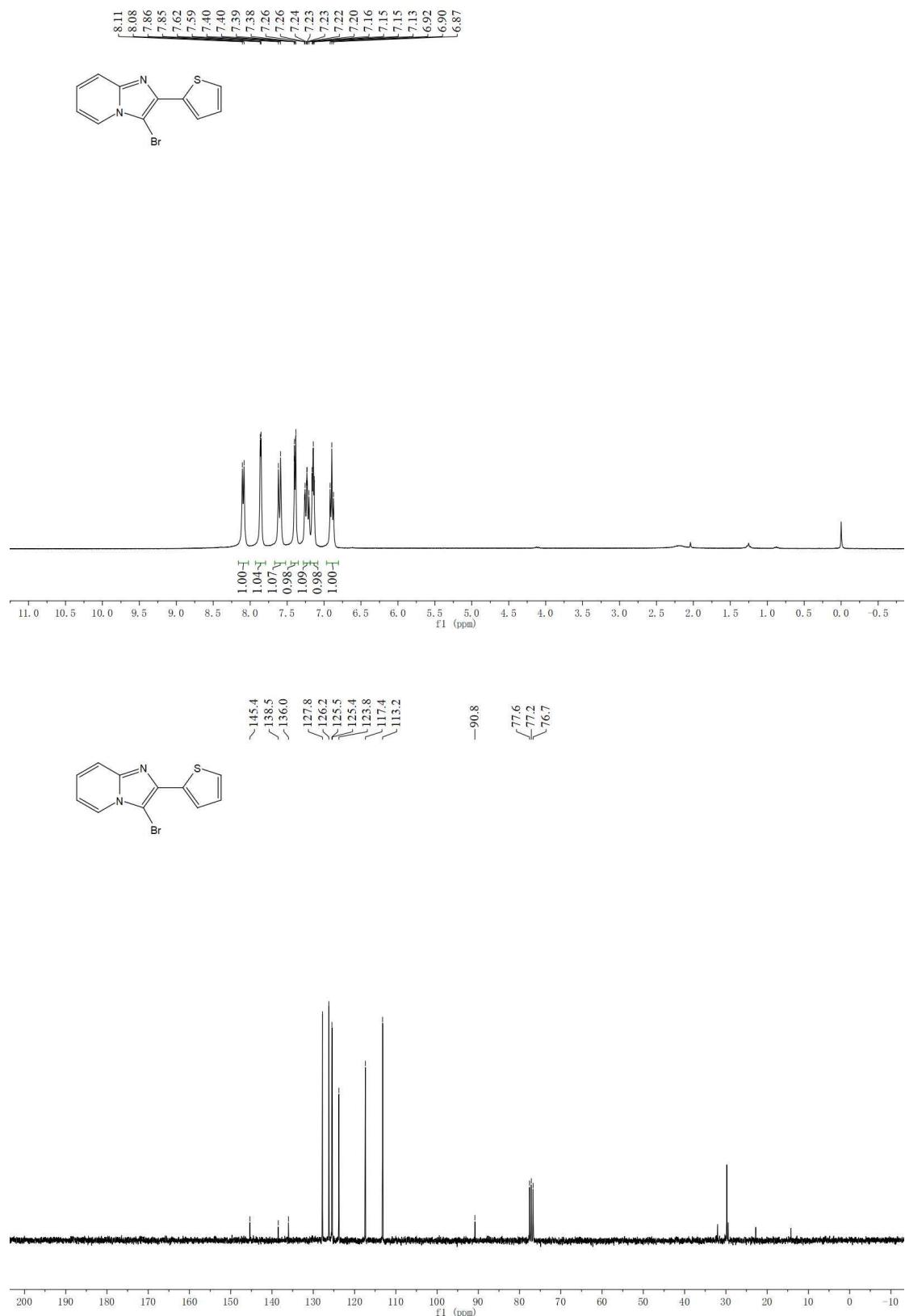
3-Bromo-2-(2,4-dichlorophenyl)imidazo[1,2-a]pyridine (4na)



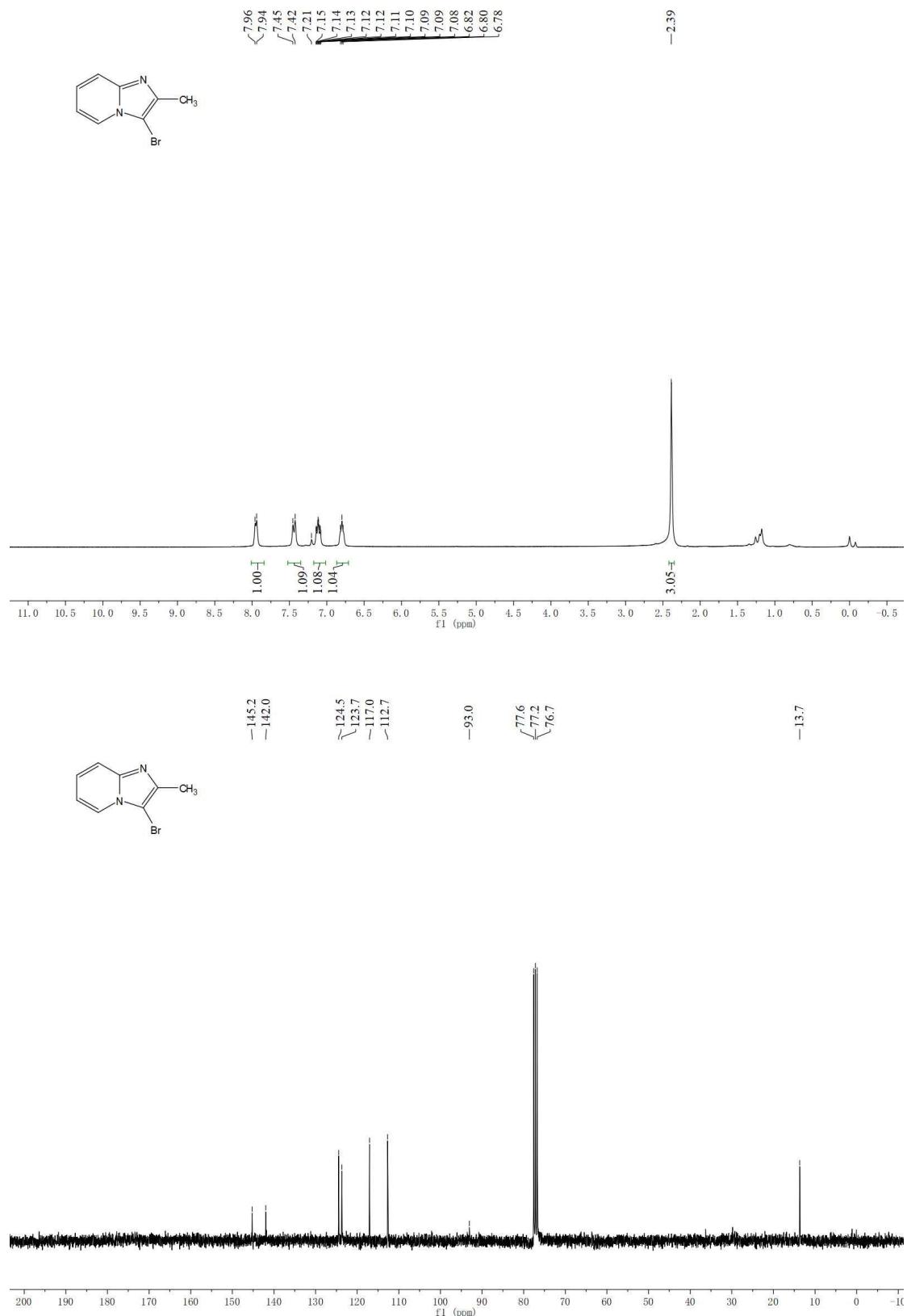
3-Bromo-2-(2,4-dichloro-5-fluorophenyl)imidazo[1,2-a]pyridine (4oa)



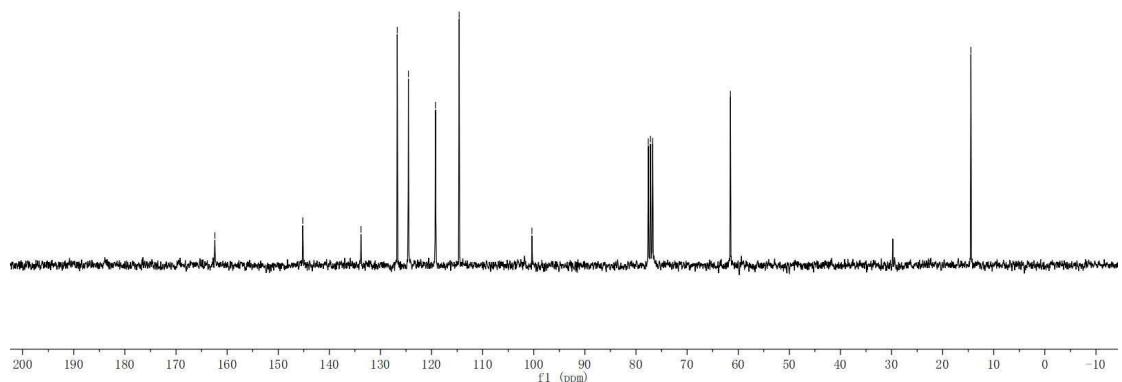
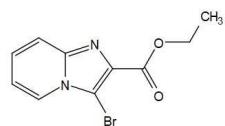
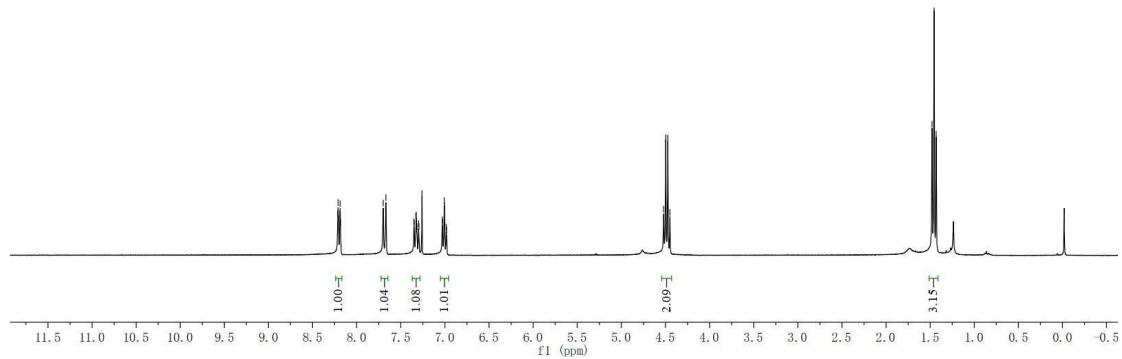
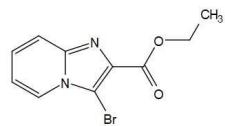
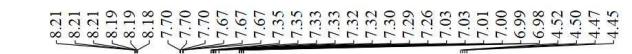
3-Bromo-2-(thiophen-2-yl)imidazo[1,2-a]pyridine (4pa)



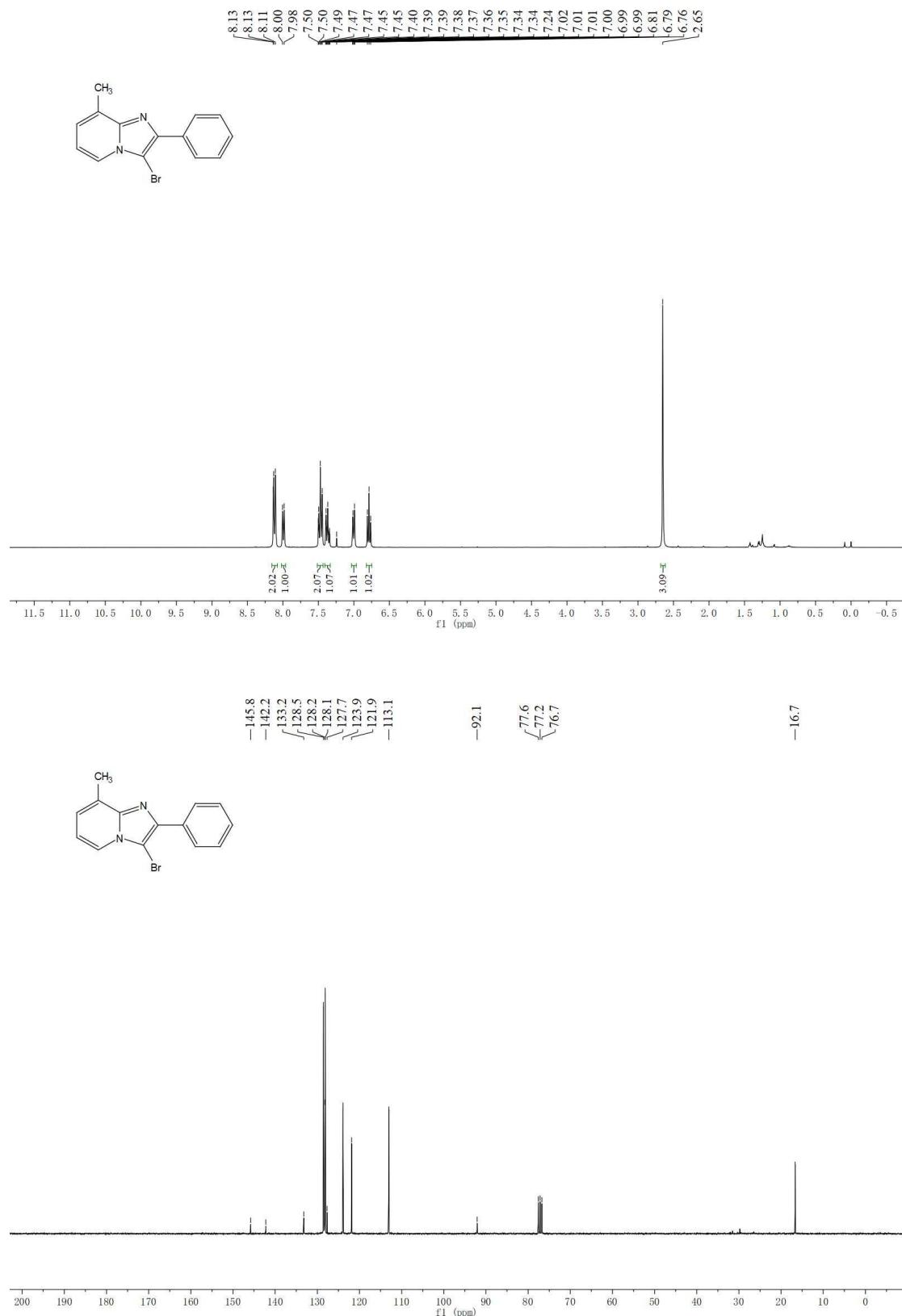
3-Bromo-2-methylimidazo[1,2-a]pyridine (4qa)



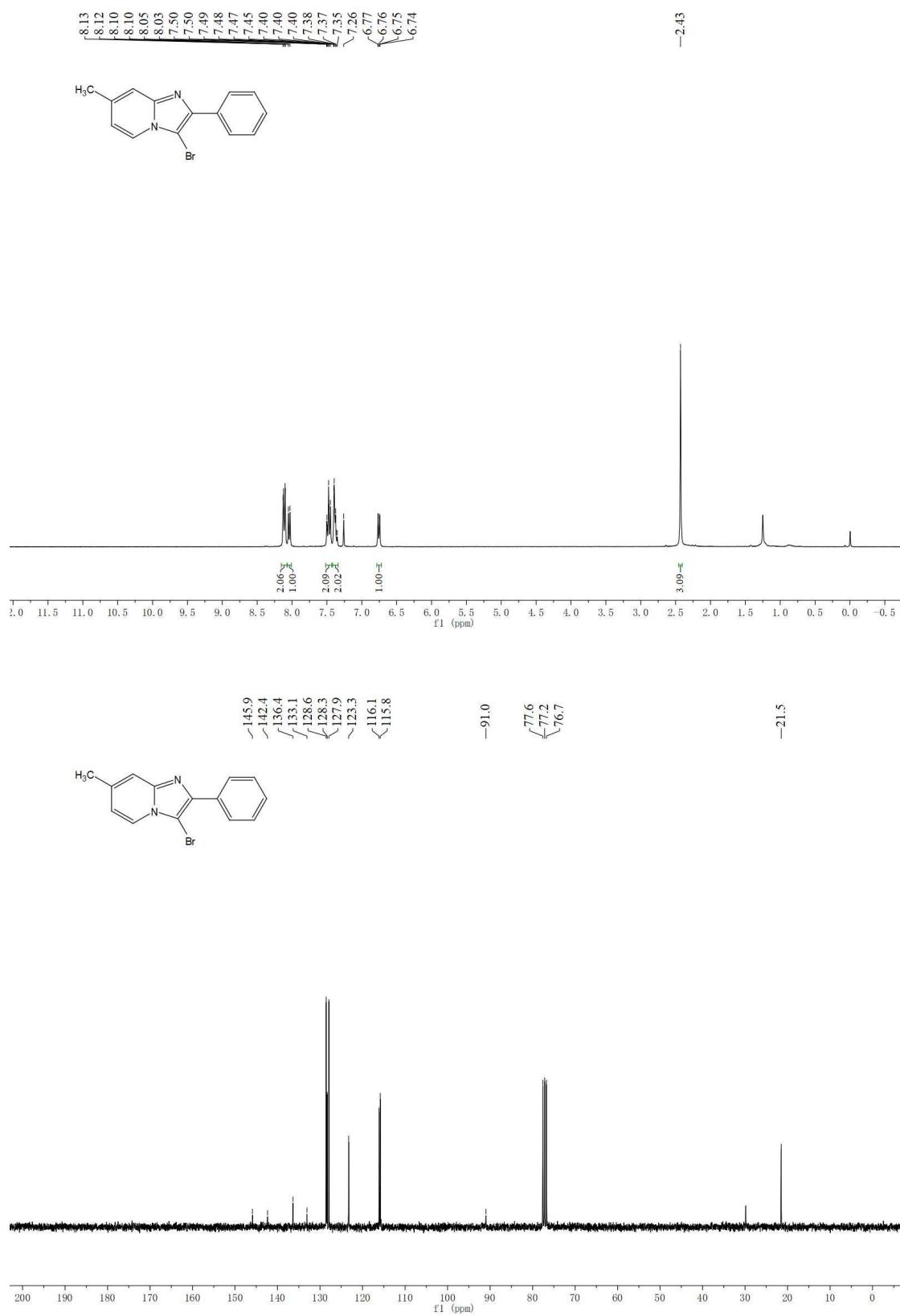
Ethyl 3-bromoimidazo[1,2-a]pyridine-2-carboxylate (4ra)



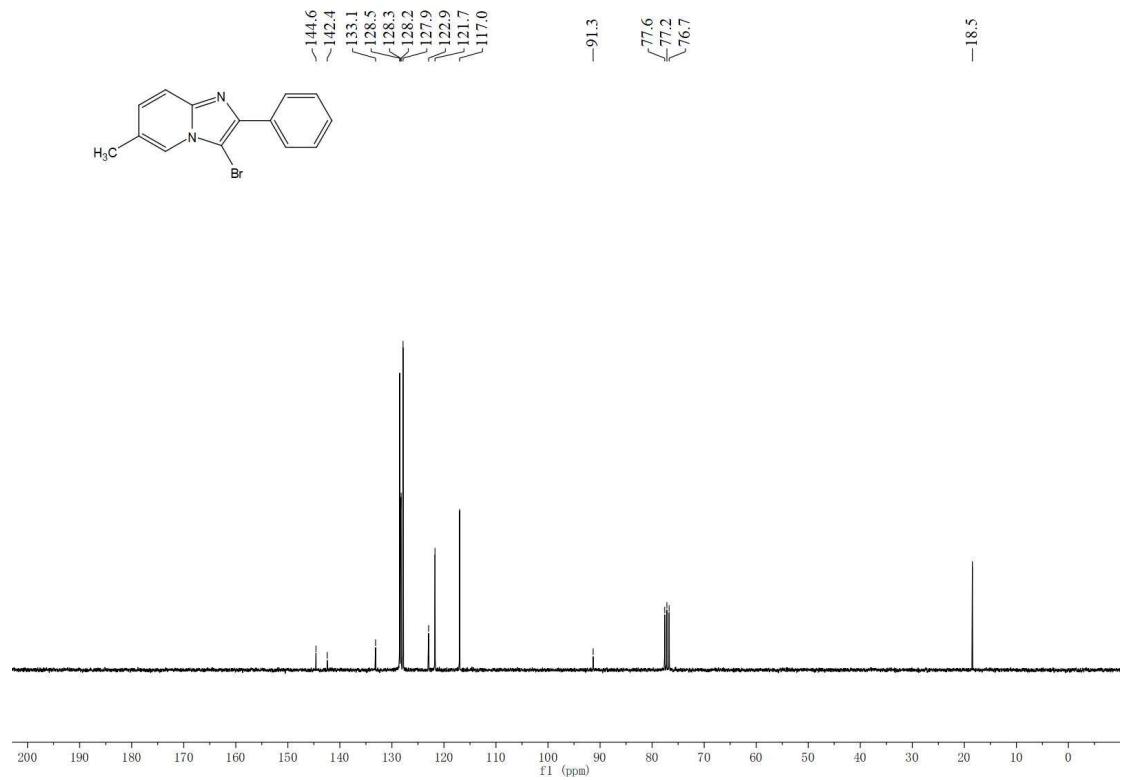
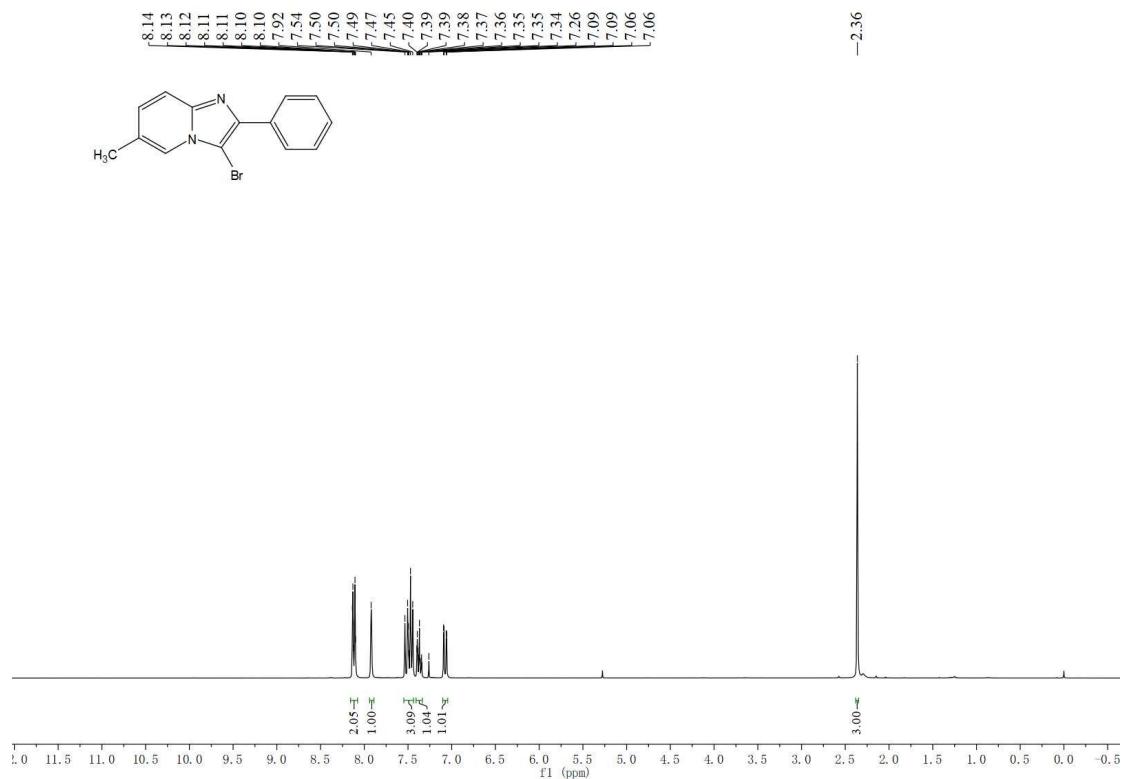
3-Bromo-8-methyl-2-phenylimidazo[1,2-a]pyridine (4ab)



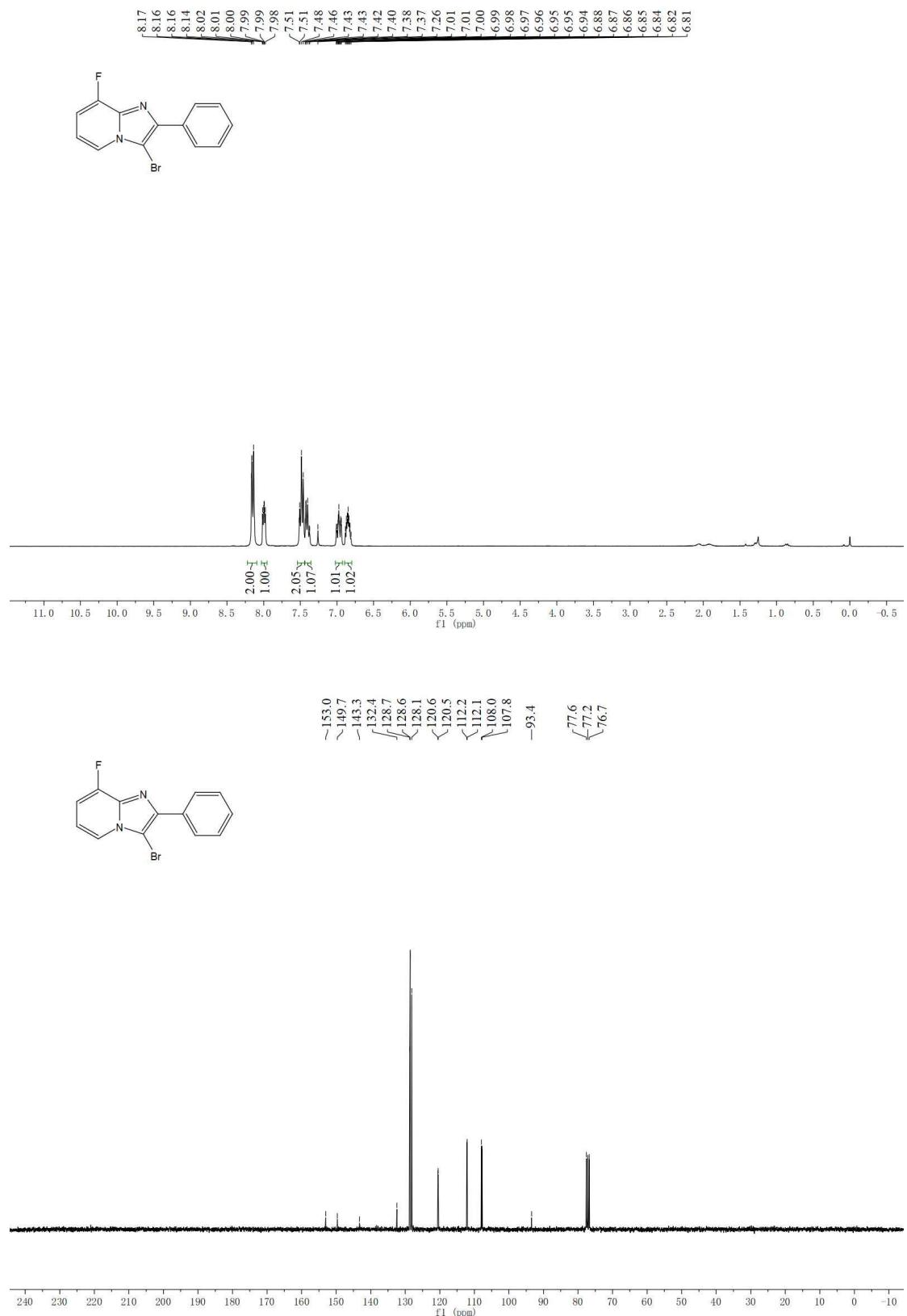
3-Bromo-7-methyl-2-phenylimidazo[1,2-a]pyridine (4ac)



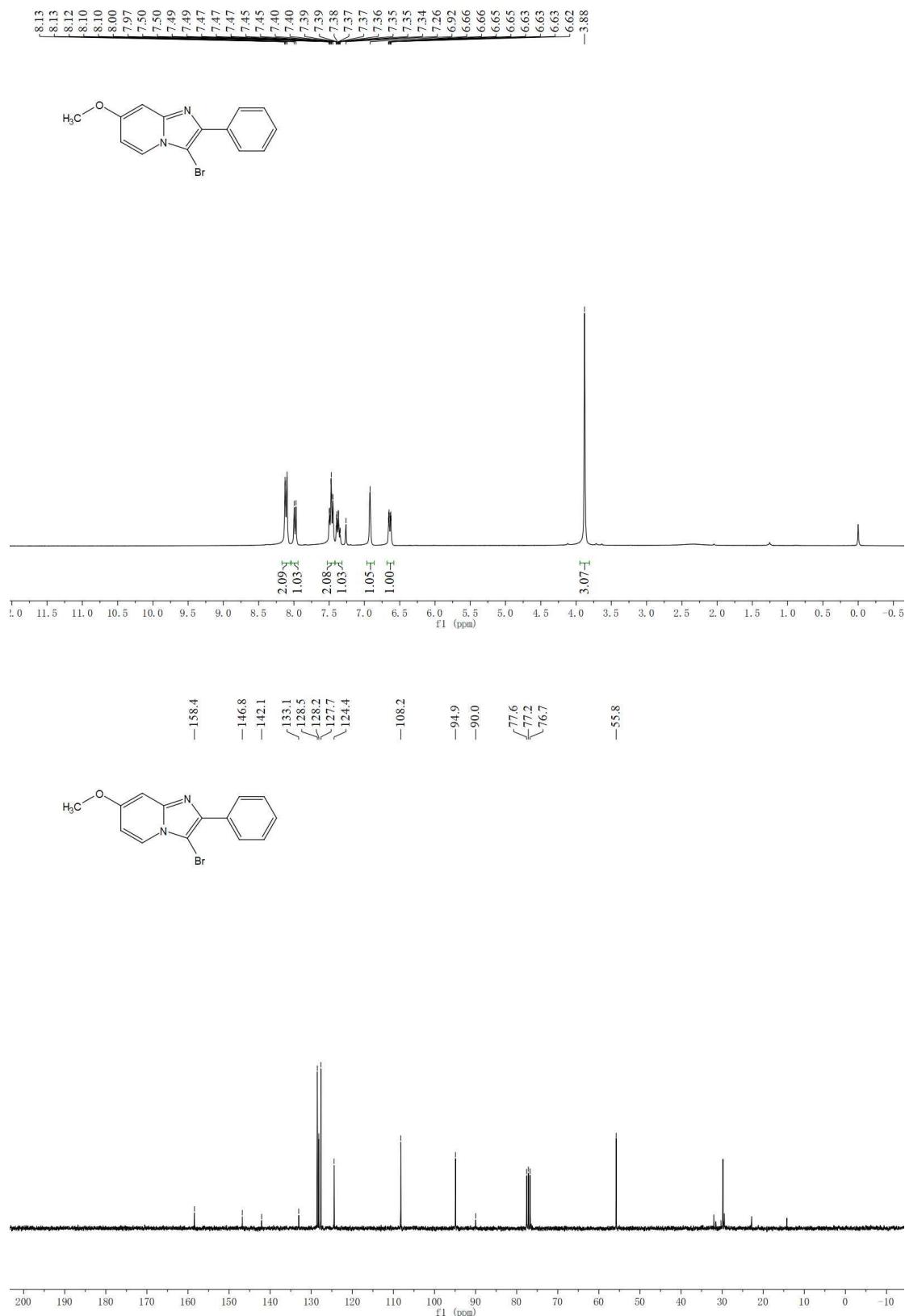
3-Bromo-6-methyl-2-phenylimidazo[1,2-a]pyridine (4ad)



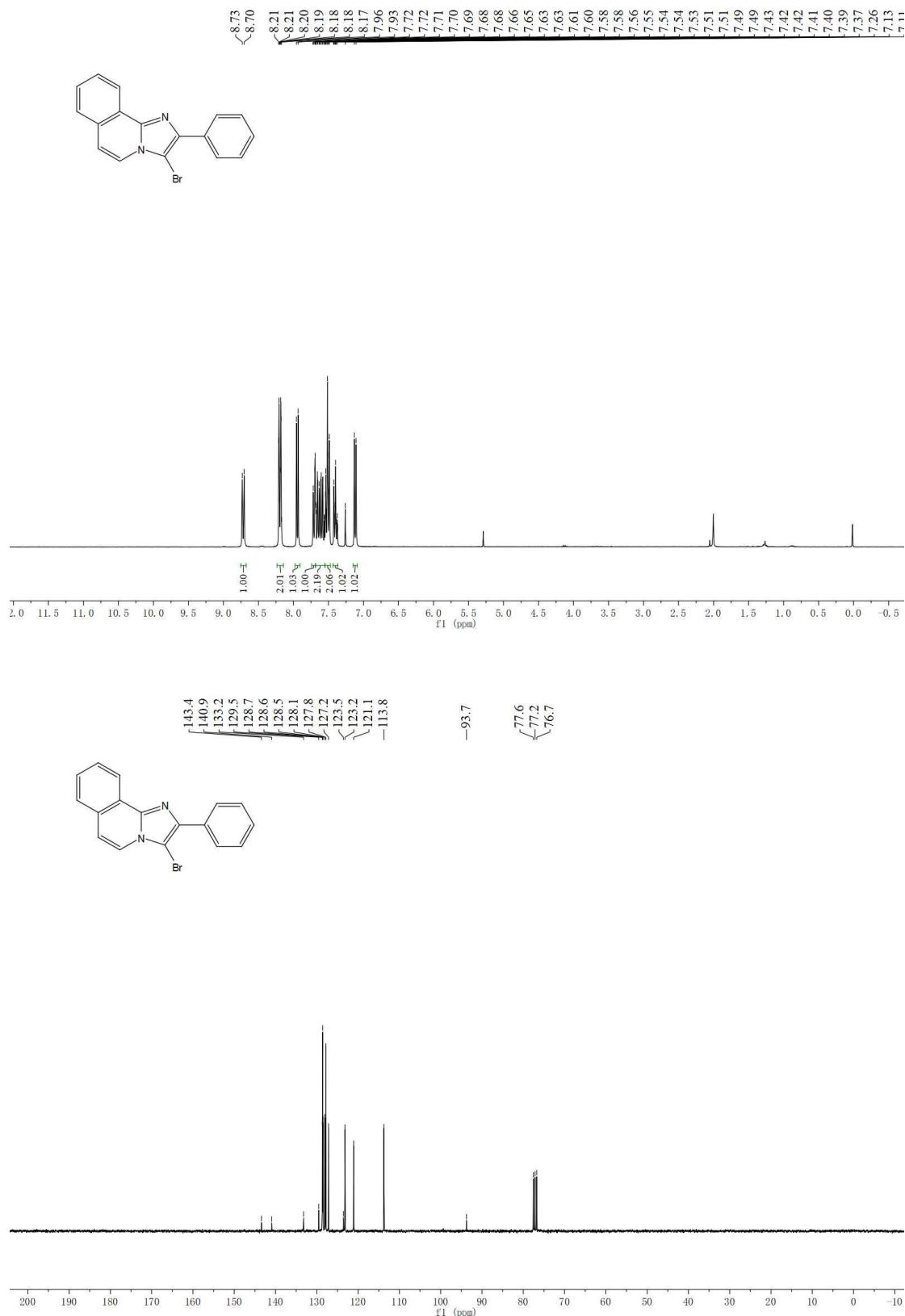
3-Bromo-8-fluoro-2-phenylimidazo[1,2-a]pyridine (4af)



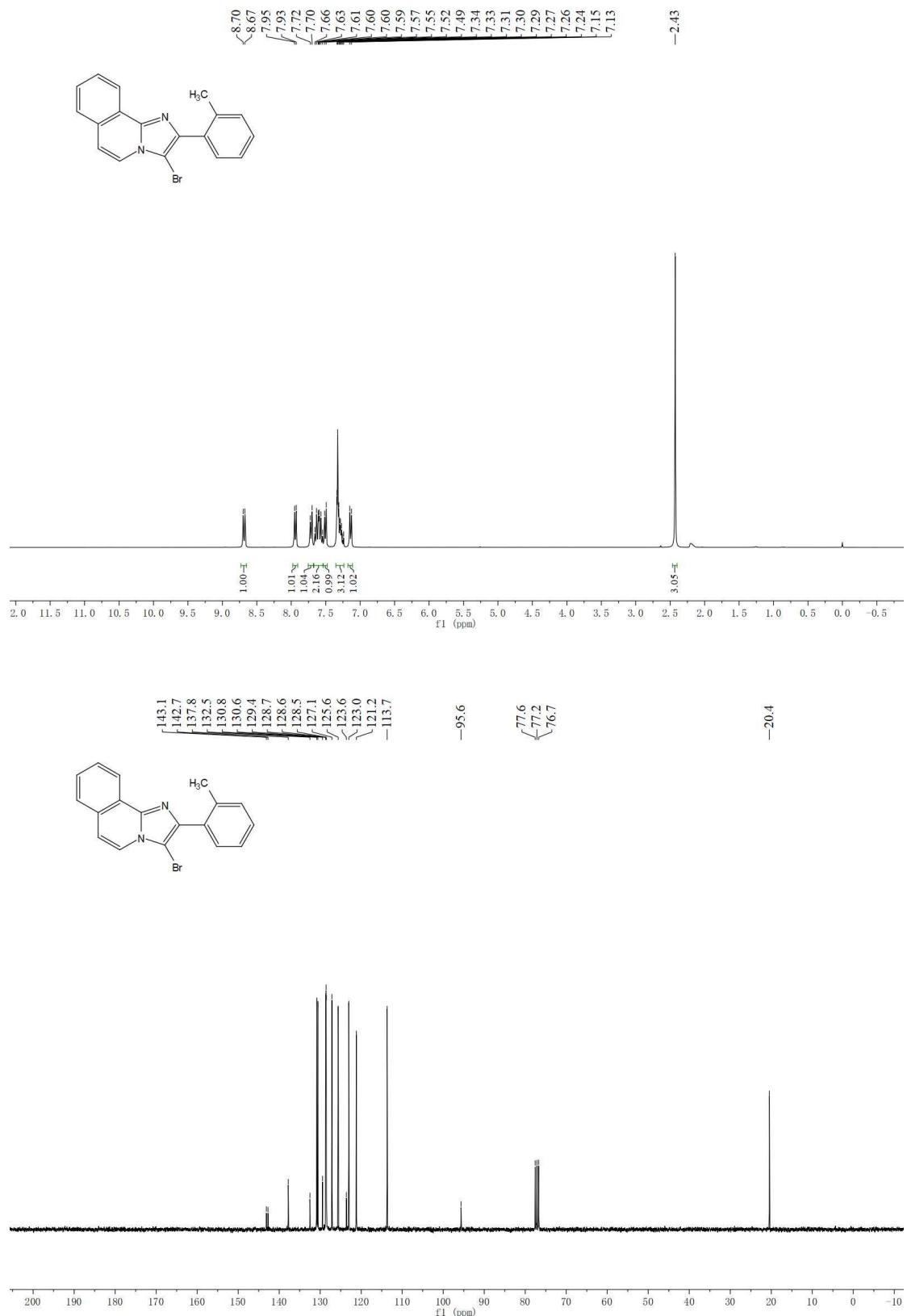
3-Bromo-7-methoxy-2-phenylimidazo[1,2-a]pyridine (4ag)



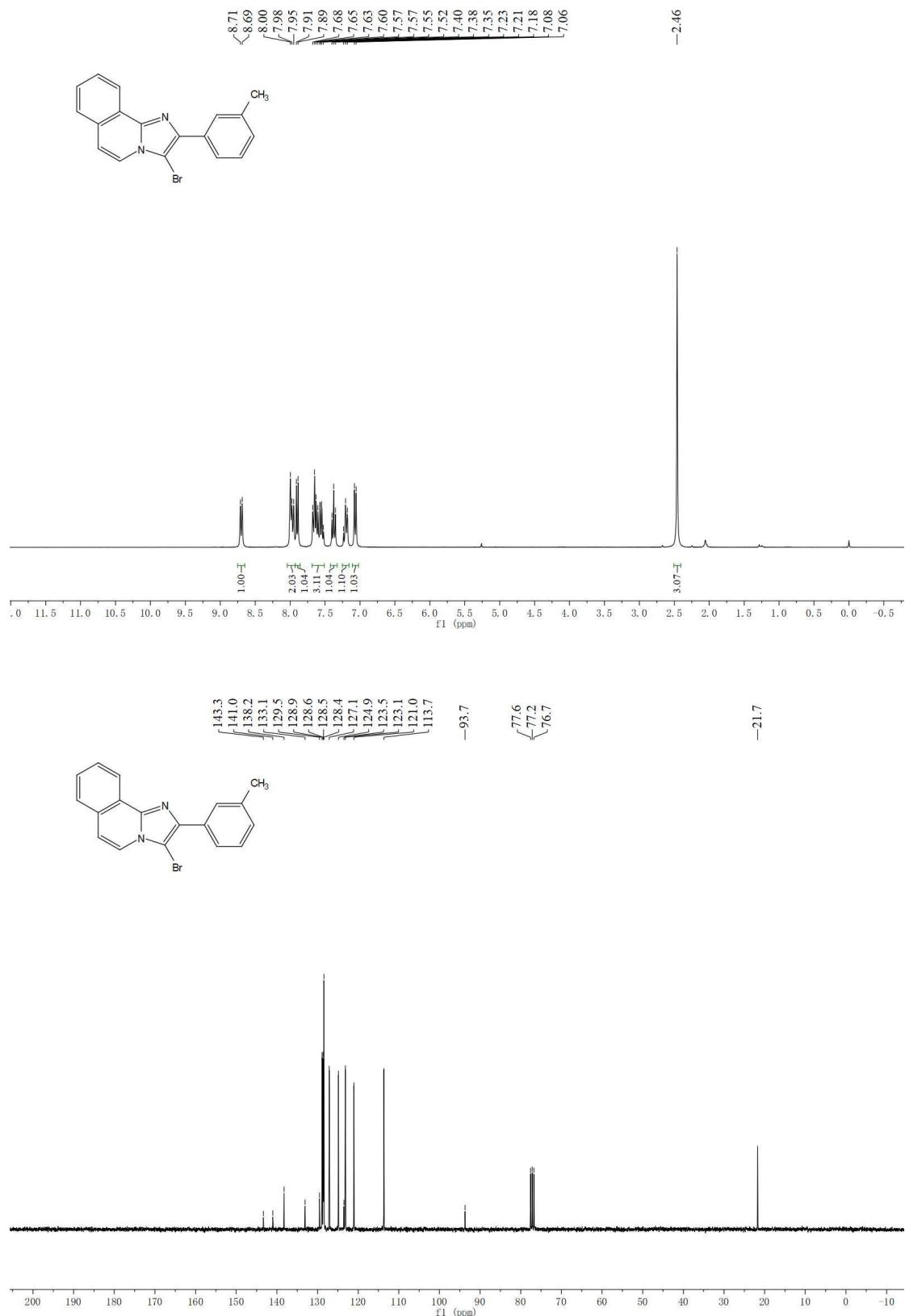
3-Bromo-2-phenylimidazo[2,1-a]isoquinoline (4ah)



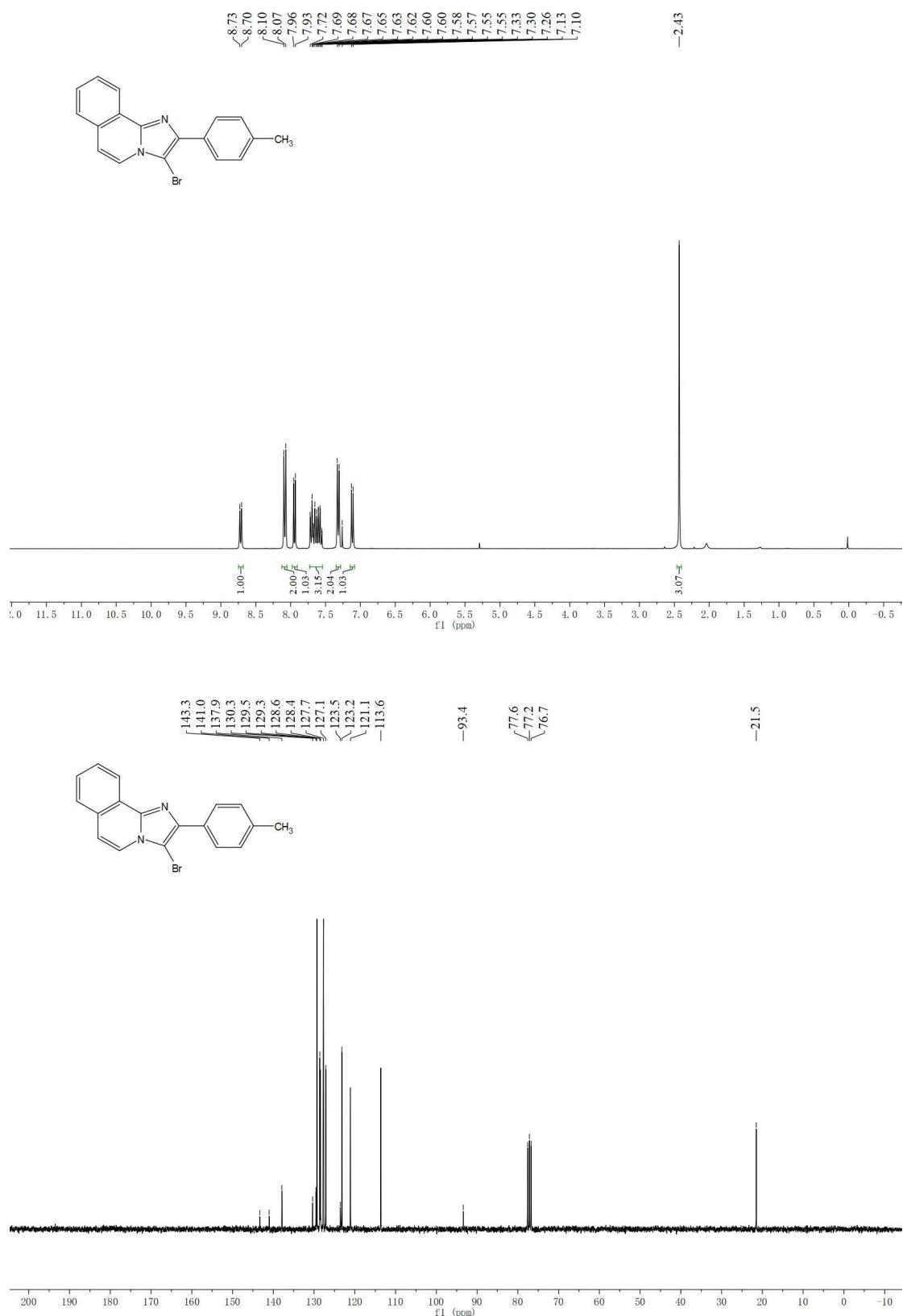
3-Bromo-2-(o-tolyl)imidazo[2,1-a]isoquinoline (4kh)



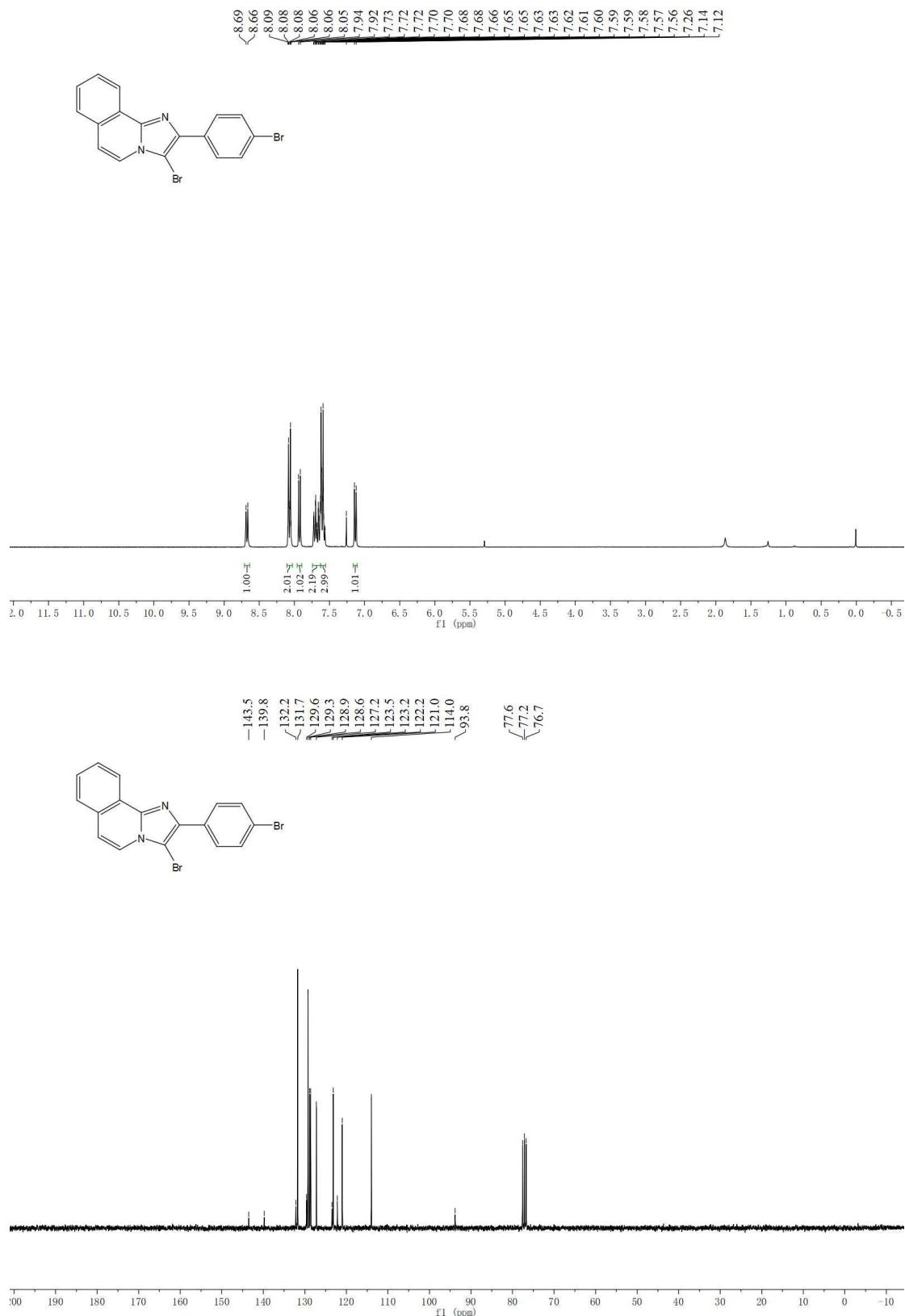
3-Bromo-2-(m-tolyl)imidazo[2,1-a]isoquinoline (4hh)



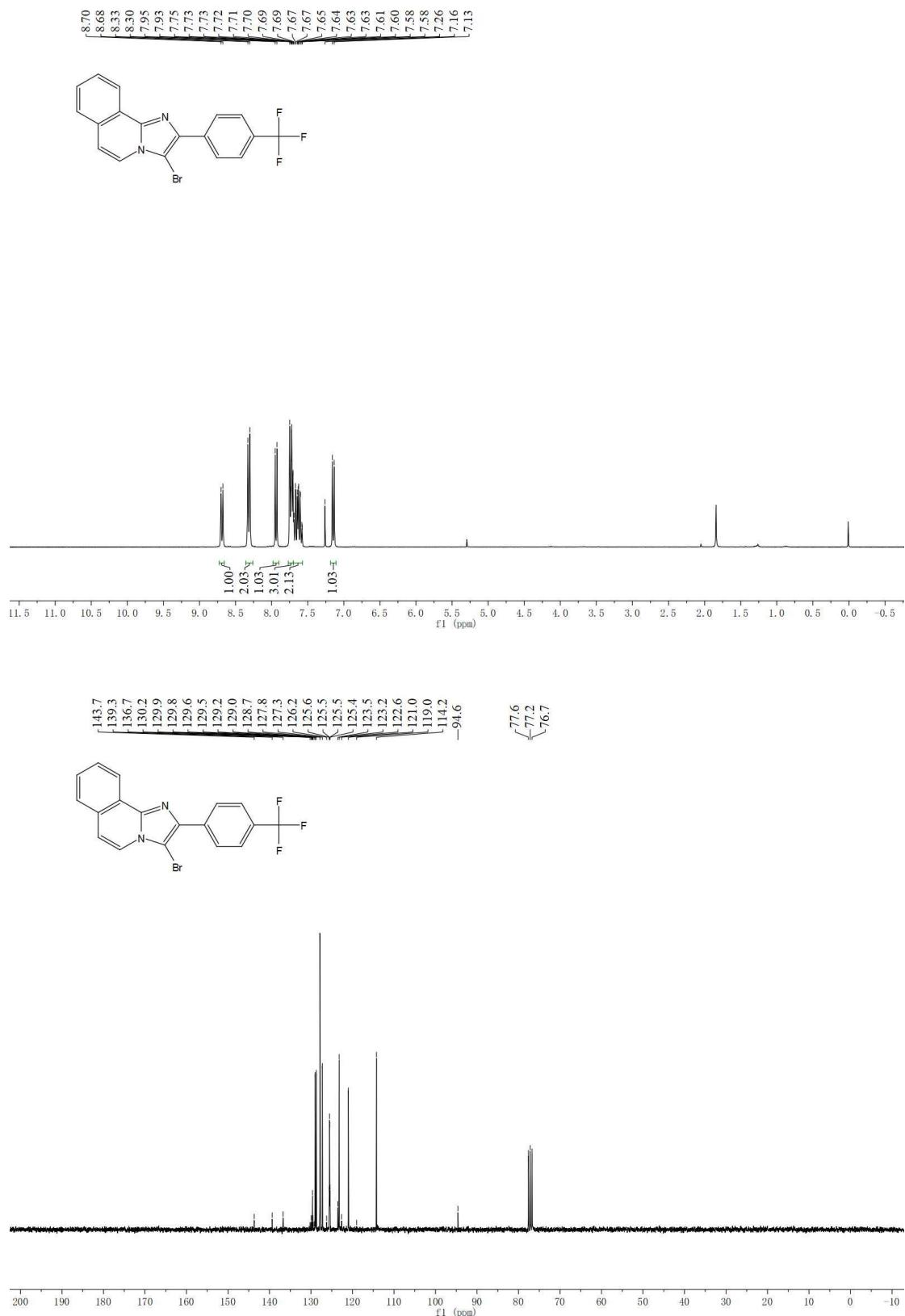
3-Bromo-2-(p-tolyl)imidazo[2,1-a]isoquinoline (4bh)



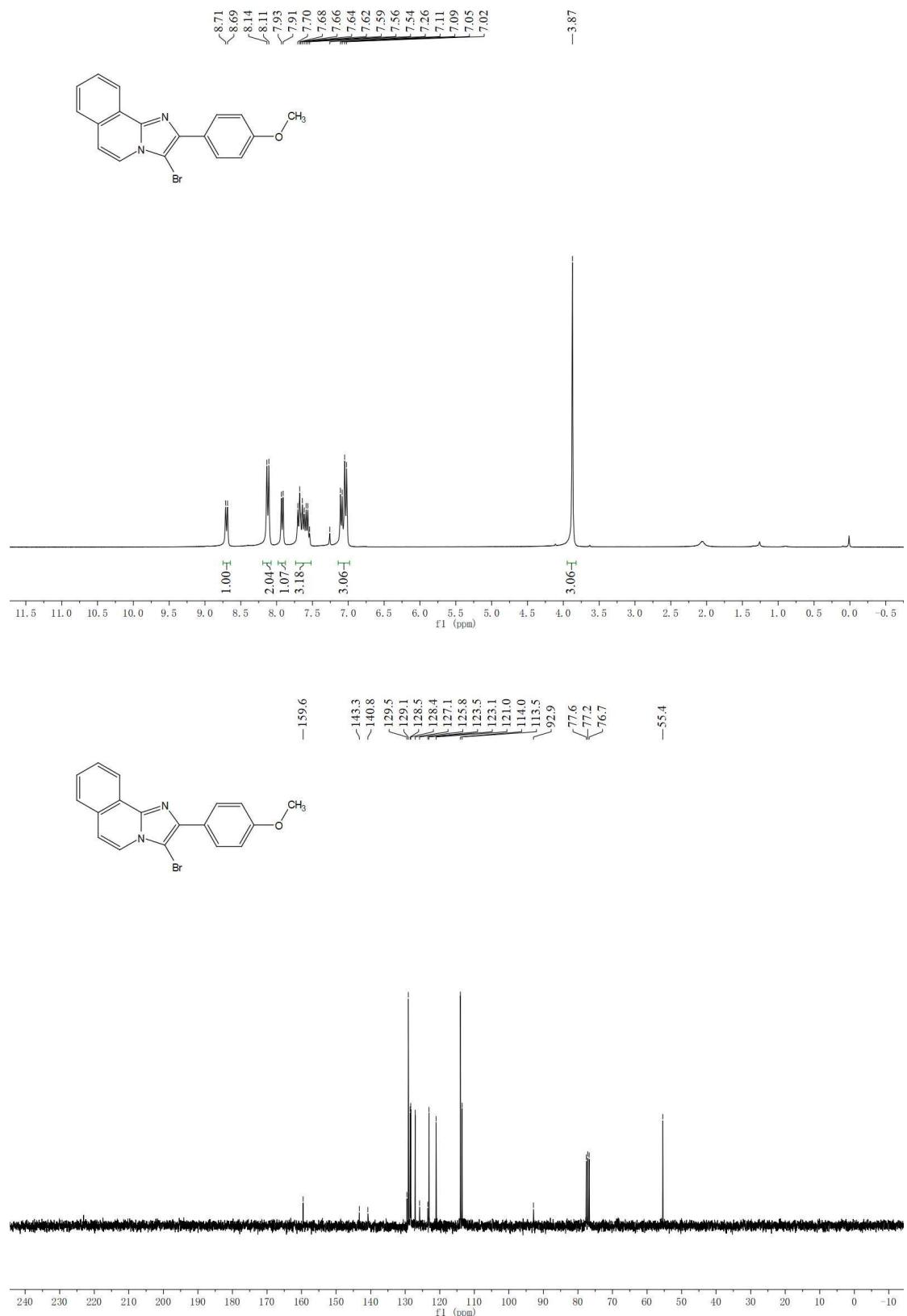
3-Bromo-2-(4-bromophenyl)imidazo[2,1-a]isoquinoline (4ch)



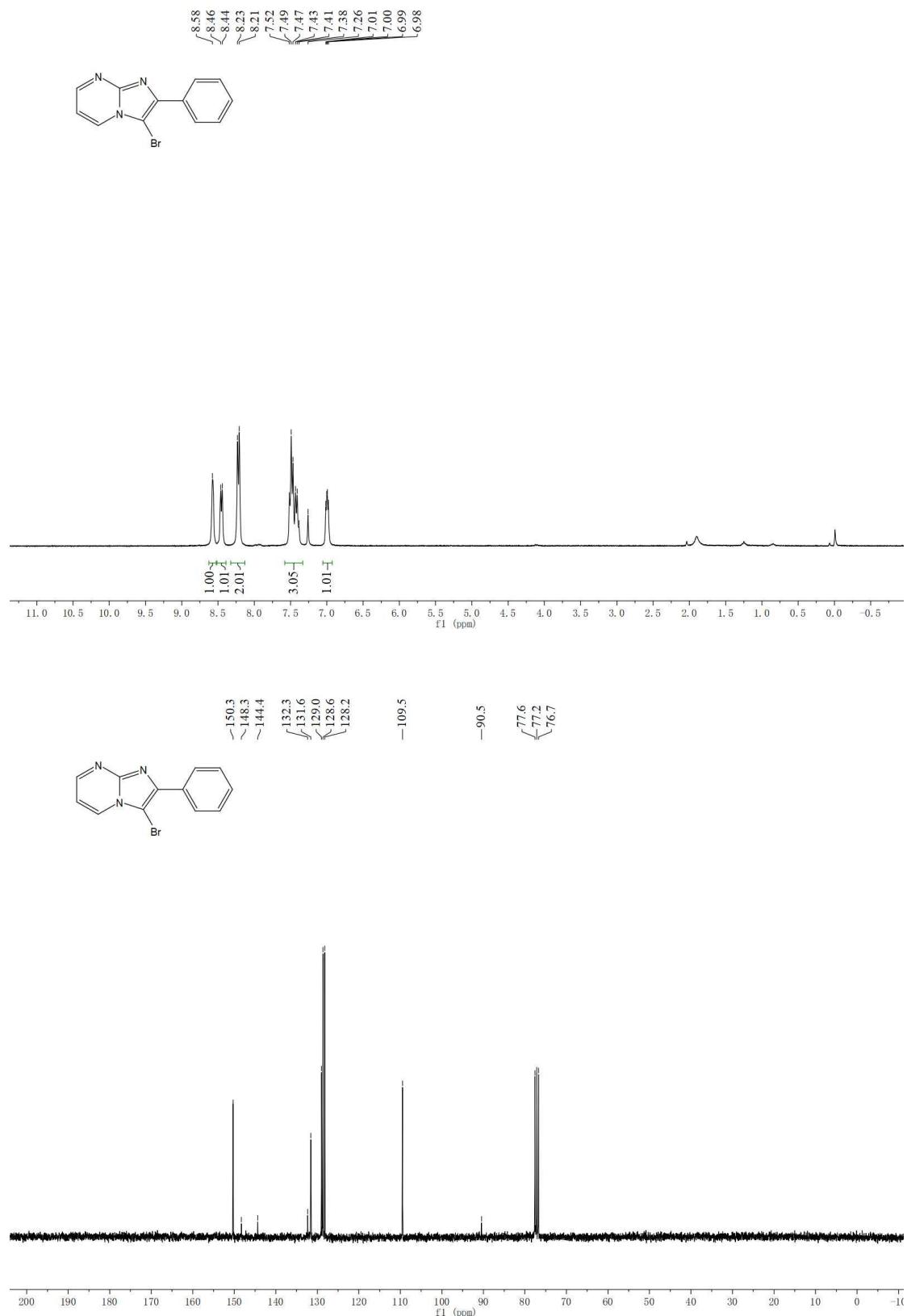
3-Bromo-2-(4-(trifluoromethyl)phenyl)imidazo[2,1-a]isoquinoline (4fh)



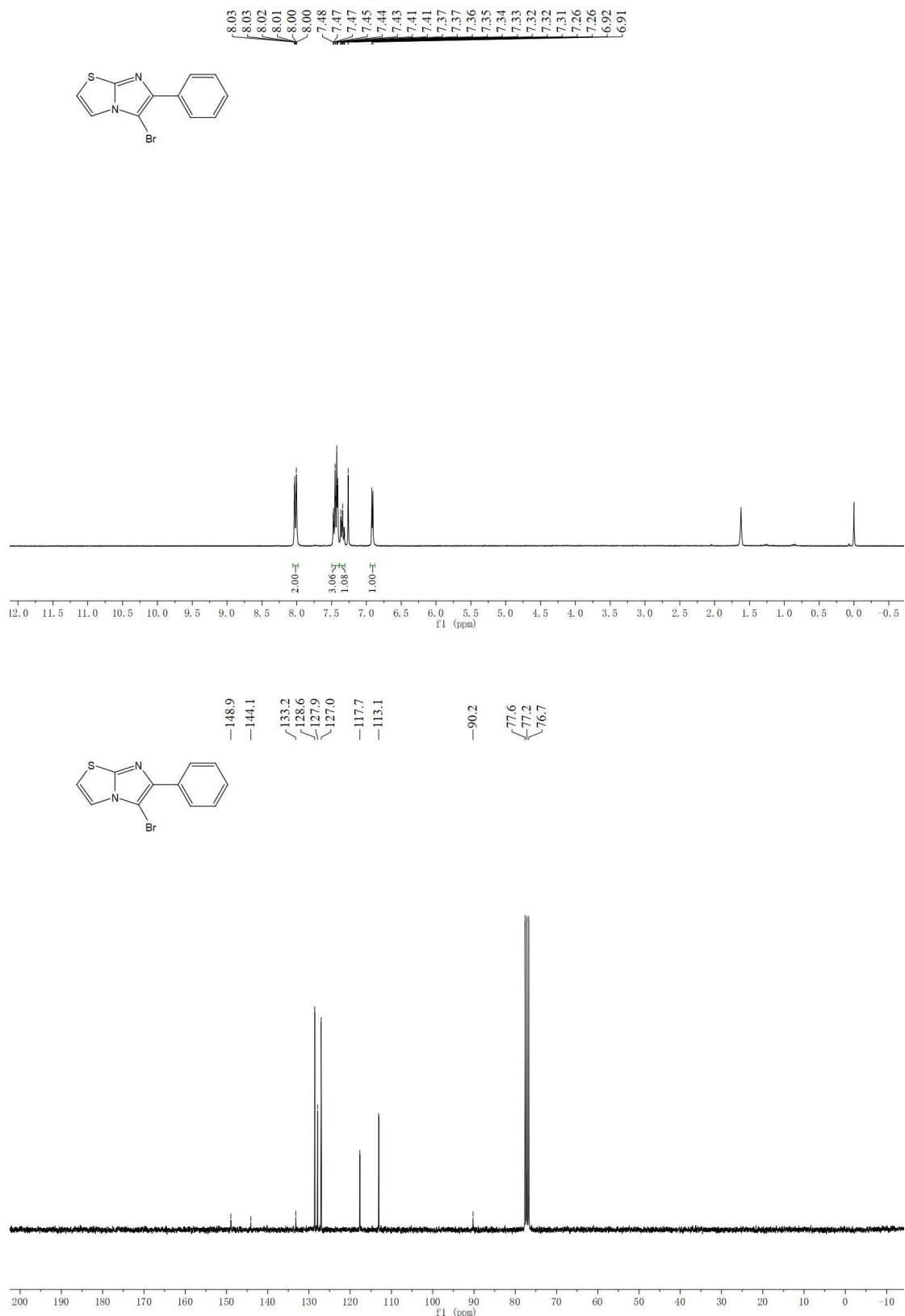
3-Bromo-2-(4-methoxyphenyl)imidazo[2,1-a]isoquinoline (4gh)



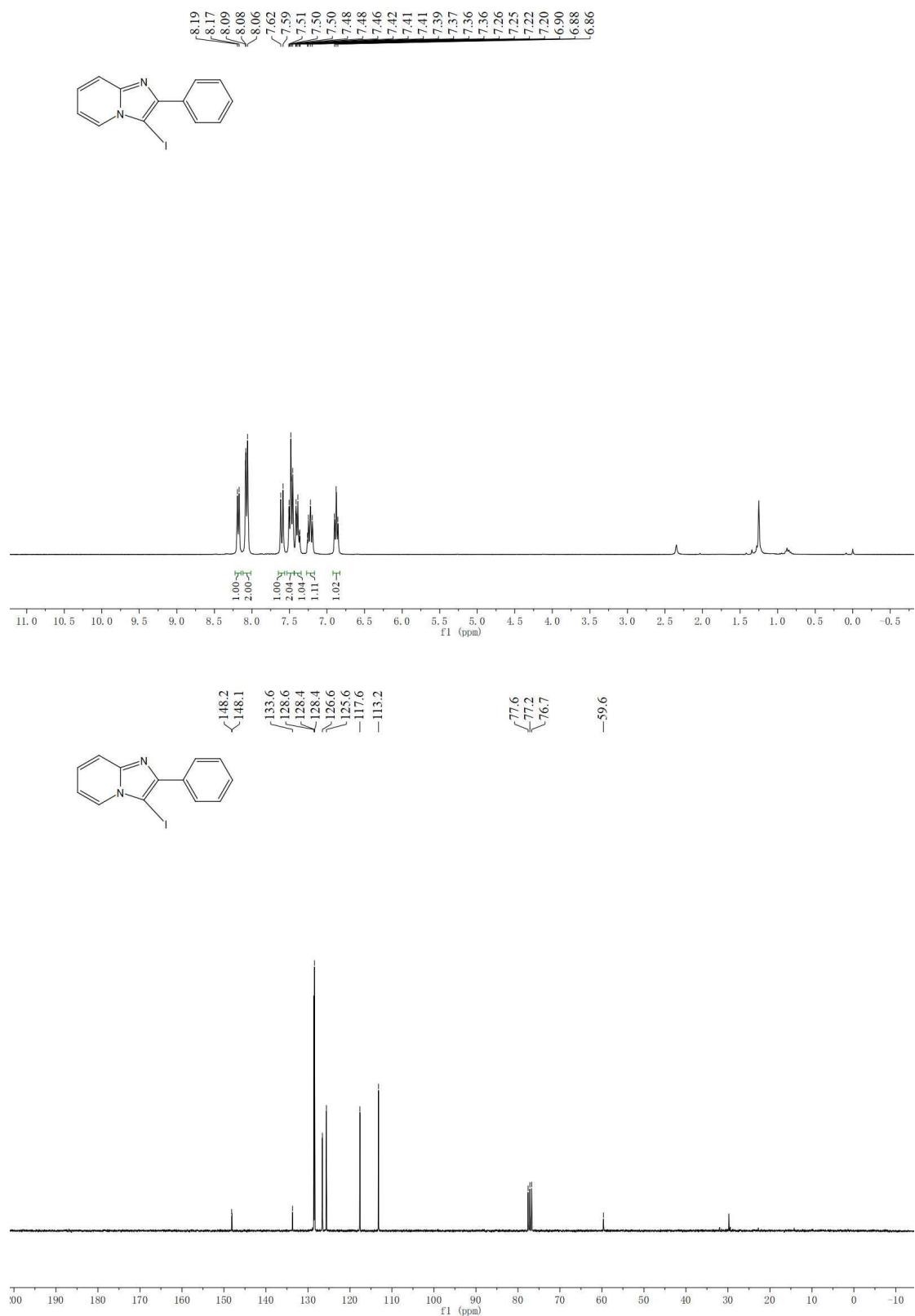
3-Bromo-2-phenylimidazo[1,2-a]pyrimidine (4ai)



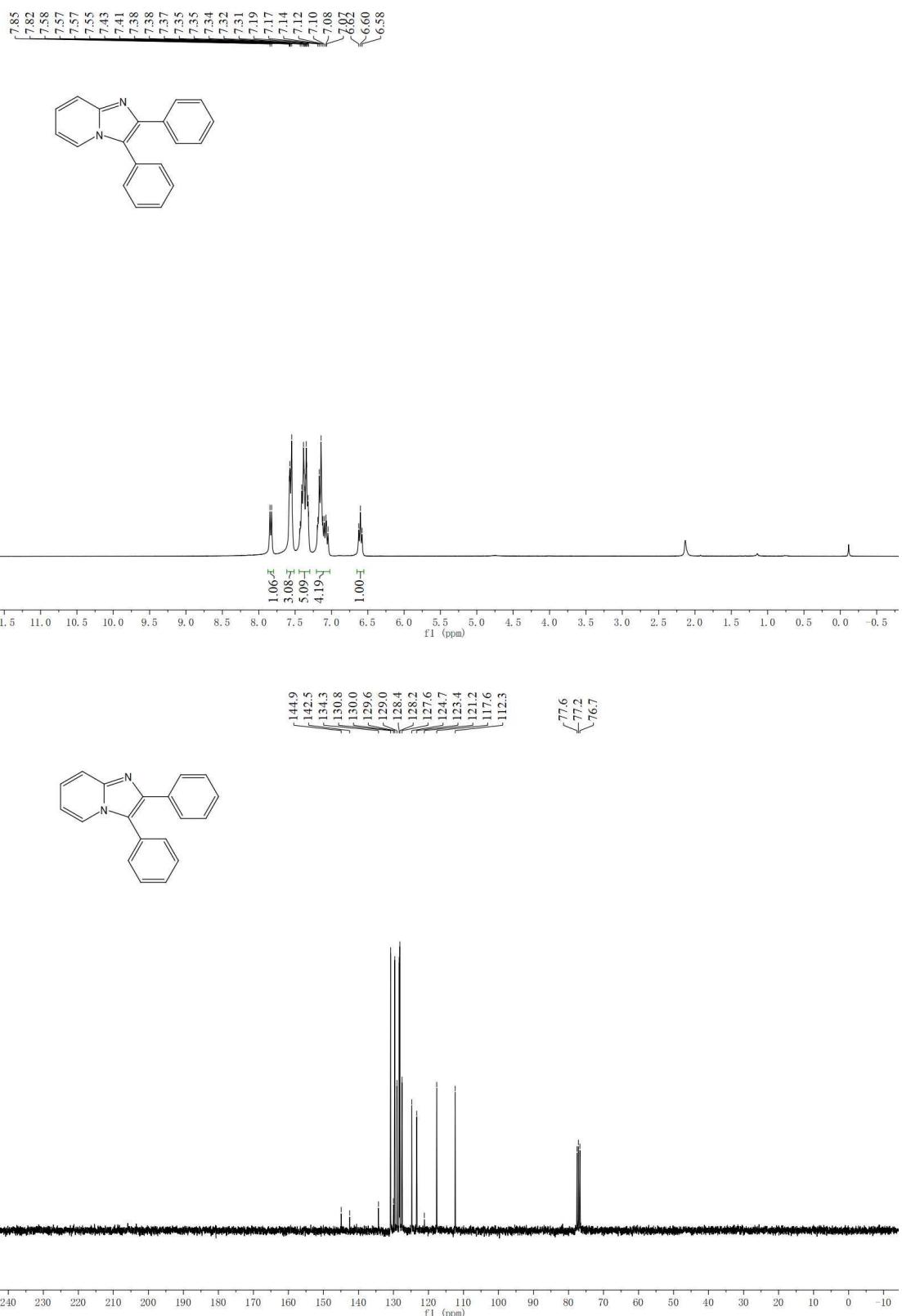
5-Bromo-6-phenylimidazo[2,1-b]thiazole (4aj)



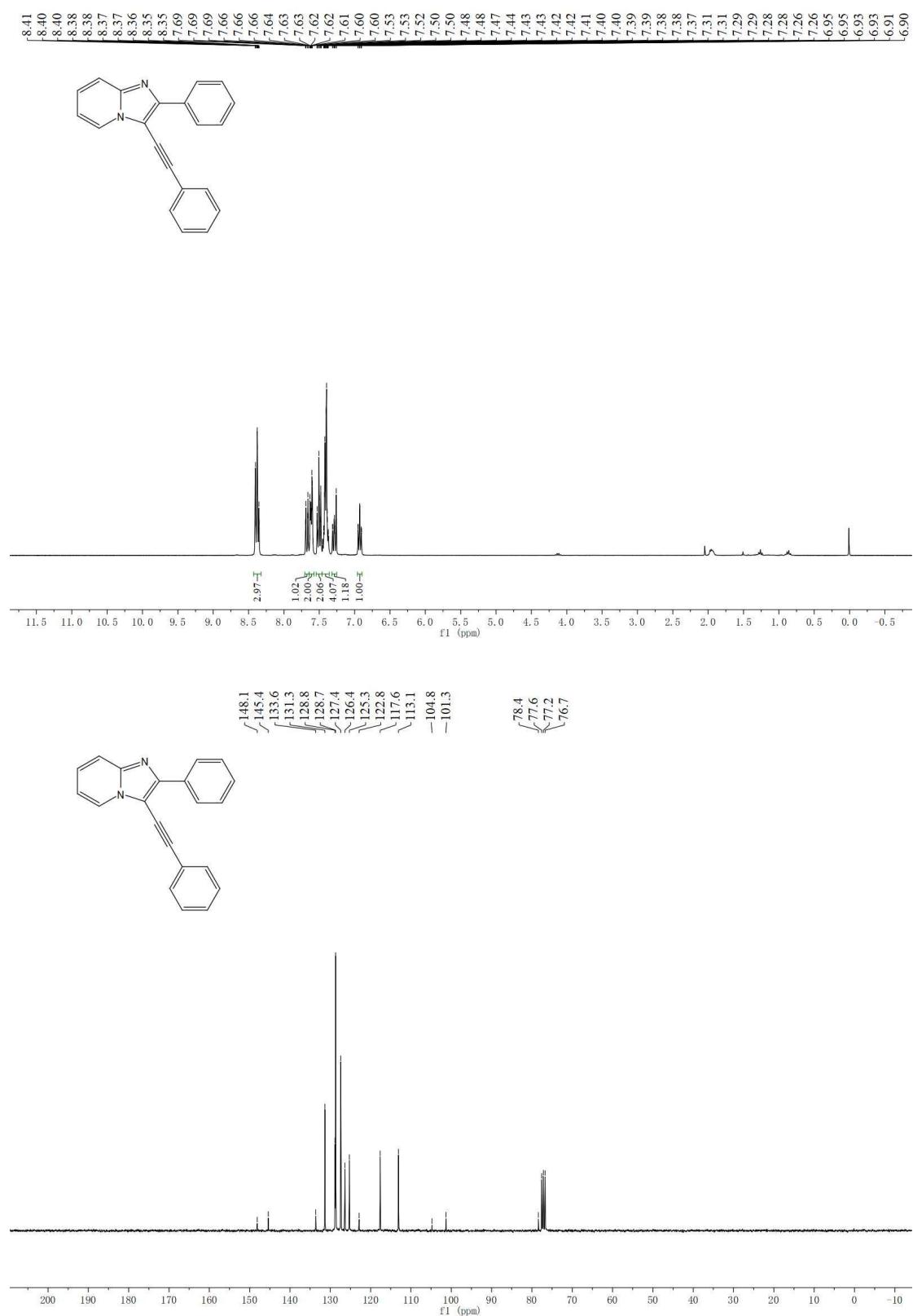
3-Iodo-2-phenylimidazo[1,2-a]pyridine (4sa)



2,3-Diphenylimidazo[1,2-a]pyridine (6)



2-Phenyl-3-(phenylethynyl)imidazo[1,2-a]pyridine (7)



2-Phenylimidazo[1,2-a]pyridine (5aa)

