

Supporting Information

Palladium-Catalyzed C3-Selective C–H Oxidative Carbonylation of Imidazo[1,2-*a*]pyridines with CO and Alcohols: A Way to Access Esters

Shoucai Wang, Siyu Zhang, Meichen Liu, Jiawang Zang, Guangbin Jiang,*

Fanghua Ji*

Guangxi Key Laboratory of Electrochemical and Magnetochemical Function Materials, College
of Chemistry and Bioengineering, Guilin University of Technology, 12 Jiangnan Road, Guilin
541004, China.

E-mail: fanghuaaji@glut.edu.cn

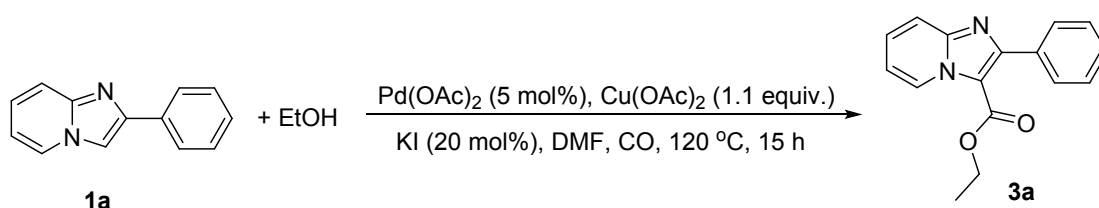
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A. General Information

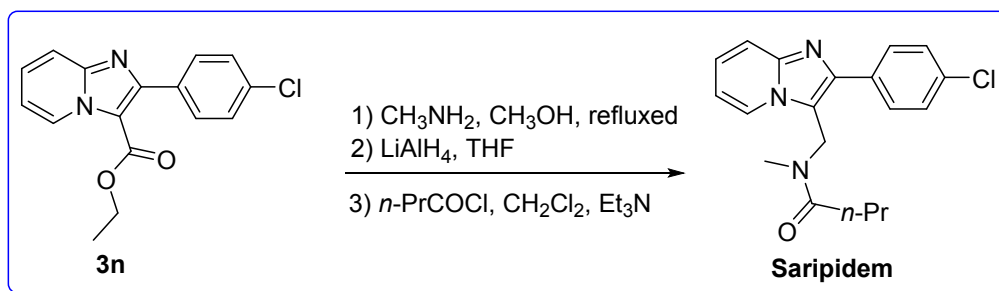
All purchased reagents and solvents were used without further purification unless otherwise noted. Melting points were measured with a melting point instrument and were uncorrected. ^1H and ^{13}C NMR spectra were recorded using a Bruker DRX-400 or Bruker DRX-600 spectrometer using CDCl_3 or $\text{DMSO}-d_6$ as solvent. The chemical shifts are referenced to signals at 7.26 and 77.0 ppm, respectively. TLC was performed by using commercially prepared 100-400 mesh silica gel plates and visualization was effected at 254 nm.

B. General Procedure for Carbonylation



A mixture of 2-phenylimidazo[1,2-a]pyridine **1a** (0.2 mmol), EtOH (1.0 mmol), $\text{Pd}(\text{OAc})_2$ (5 mol %, 2.2 mg), $\text{Cu}(\text{OAc})_2$ (0.22 mmol, 40 mg), KI (0.04 mmol, 6.6 mg), and DMF (2 mL) was charged in a 25 mL tube. Then, the tube was evacuated and backfilled with CO (in a balloon) and stirred at 100 °C. When the reaction was completed (detected by TLC), the mixture was cooled to room temperature. The reaction was quenched with H_2O (10 mL) and extracted with EtOAc (3 × 10 mL). The combined organic layers were dried over anhydrous Na_2SO_4 and then evaporated in vacuo. The residue was purified by column chromatography on silica gel to afford the corresponding carbonylation product ethyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate **3a** with mixture of petroleum ether and ethyl acetate.

C. Experimental Procedure for Saripidem



1) A mixture of ethyl 2-(4-chlorophenyl)imidazo[1,2-*a*]pyridine-3-carboxylate **3n** (1 mmol), CH₃NH₂ (40 wt% solution in water) (3 equiv.), and CH₃OH (10 mL) was charged in a sealed tube and refluxed. When the reaction was completed (detected by TLC), the solvent was evaporated under reduced pressure and the mixture was extracted with EtOAc. The combined organic layers were dried over MgSO₄, filtered and evaporated under vacuum. The concentrated crude product was subjected to the next step without further purification.

2) To a stirred suspension of LiAlH₄ (38 mg, 1 mmol) in THF (2 mL) was added slowly the solution of the above crude product in anhydrous THF (2 mL) at 0 °C under nitrogen atmosphere. Then the reaction mixture was stirred for 3 hours at room temperature. Upon completion, the solution was cooled and added NaOH (15%, 0.2 mL) and H₂O (0.2 mL) slowly. The resulting solution was stirred for 0.5 h and filtered through Celite. The filtrate was extracted with EtOAc. The combined organic phase was dried over Na₂SO₄, filtered, and concentrated under reduced pressure. The residue was purified by flash column chromatography to afford the crude product, which was directly subjected to the following step.

3) The crude product obtained above was dissolved in DCM (5 mL). To the solution was added triethylamine (303 mg, 3 mmol) at 0 °C. Then butyryl chloride (144 mg, 1.2 mmol) was added dropwise, and the mixture was stirred for 10 min at 0 °C and 30 min at room temperature. The

reaction was poured into saturated aqueous NaHCO_3 , and extracted with DCM. The organic phase was collected, dried and concentrated under reduced pressure. The residue was purified by flash column chromatography to afford the corresponding product Saripidem.

D. Characterization Data for All Products

Ethyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3a)

Yield 47.9 mg (90%, white solid); mp 51-52 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.42 (d, *J* = 7.2 Hz, 1H), 7.81-7.65 (m, 3H), 7.48-7.37 (m, 4H), 7.04 (t, *J* = 6.8 Hz, 1H), 4.31 (q, *J* = 7.4 Hz, 2H), 1.22 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.1, 153.5, 147.0, 134.4, 130.2, 128.7, 128.4, 128.0, 127.6, 117.5, 114.1, 112.0, 60.5, 14.0. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₆H₁₅N₂O₂ [M+H]⁺, 267.1128; Found 267.1129.

Ethyl 8-methyl-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3b)

Yield 34.7 mg (62%, white solid); mp 113-114 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.27 (d, *J* = 7.0 Hz, 1H), 7.79-7.70 (m, 2H), 7.47-7.36 (m, 3H), 7.21 (dt, *J* = 7.0, 1.2 Hz, 1H), 6.93 (t, *J* = 7.0 Hz, 1H), 4.28 (q, *J* = 7.1 Hz, 2H), 2.68 (t, *J* = 0.9 Hz, 3H), 1.19 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.2, 153.1, 147.3, 134.9, 130.3, 128.5, 127.5, 127.5, 126.8, 126.0, 114.0, 112.4, 60.3, 17.1, 13.9. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₇H₁₇N₂O₂ [M+H]⁺, 281.1285; Found 281.1284.

Ethyl 7-methyl-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3c)

Yield 39.2 mg (70%, white solid); mp 90-92 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.27 (d, *J* = 7.1 Hz, 1H), 7.85-7.69 (m, 2H), 7.49 (dt, *J* = 2.0, 1.0 Hz, 1H), 7.45-7.36 (m, 3H), 6.86 (dd, *J* = 7.1, 1.8 Hz, 1H), 4.29 (q, *J* = 7.1 Hz, 2H), 2.47 (s, 3H), 1.22 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.1, 153.6, 147.5, 139.3, 134.6, 130.2, 128.6, 127.5, 116.6, 116.1, 111.5, 60.3, 21.4, 14.0. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₇H₁₆N₂O₂Na [M+Na]⁺, 303.1104; Found 303.1106.

Ethyl 6-methyl-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3d)

Yield 44.8 mg (80%, white solid); mp 72-73 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.23 (dt, *J* = 2.0, 1.1 Hz, 1H), 7.80-7.70 (m, 2H), 7.63 (dd, *J* = 9.1, 1.0 Hz, 1H), 7.46-7.37 (m, 3H), 7.27 (dd, *J* = 9.1, 1.8 Hz, 1H), 4.29 (q, *J* = 7.1 Hz, 2H), 2.41 (s, 3H), 1.21 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.2, 153.4, 146.1, 134.7, 130.8, 130.2, 128.5, 127.5, 126.2, 124.0, 116.7, 111.7, 60.3, 18.5, 14.0. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₇H₁₇N₂O₂ [M+H]⁺, 281.1285; Found 281.1289.

Ethyl 7-methoxy-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3e)

Yield 41.4 mg (70%, yellow solid); mp 79-81 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.21 (d, *J* = 7.7 Hz, 1H), 7.83-7.68 (m, 2H), 7.47-7.35 (m, 3H), 7.00 (d, *J* = 2.6 Hz, 1H), 6.71 (dd, *J* = 7.7, 2.7 Hz, 1H), 4.28 (q, *J* = 7.1 Hz, 2H), 3.89 (s, 3H), 1.21 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.1, 160.0, 153.8, 149.0, 134.5, 130.1, 128.8, 128.6, 127.5, 111.1, 108.3, 95.2, 60.3, 55.7, 14.0. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₇H₁₇N₂O₃ [M+H]⁺, 297.1234; Found 297.1236.

Ethyl 6-fluoro-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3f)

Yield 45.4 mg (80%, yellow solid); mp 96-97 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.41 (ddd, *J* = 5.0, 2.5, 0.8 Hz, 1H), 7.78-7.73 (m, 2H), 7.70 (ddd, *J* = 9.8, 5.2, 0.8 Hz, 1H), 7.46-7.40 (m, 3H), 7.35 (ddd, *J* = 9.9, 7.6, 2.5 Hz, 1H), 4.31 (q, *J* = 7.1 Hz, 2H), 1.23 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.9, 155.1, 154.3, 154.2, 152.8, 144.6, 134.2, 130.1, 128.8, 127.6, 119.7, 119.4, 117.8, 117.7, 115.9, 115.4, 113.2, 113.2, 60.7, 13.9. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₆H₁₄FN₂O₂ [M+H]⁺, 285.1034; Found 285.1036.

Ethyl 6-chloro-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3g)

Yield 51.6 mg (86%, white solid); mp 117-118 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.50 (dd, *J* = 2.1, 0.8 Hz, 1H), 7.82-7.71 (m, 2H), 7.66 (dd, *J* = 9.4, 0.9 Hz, 1H), 7.45-7.41 (m, 3H), 7.39 (dd, *J* = 9.5, 2.0 Hz, 1H), 4.31 (q, *J* = 7.1 Hz, 2H), 1.22 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.8, 154.0, 145.3, 134.0, 130.2, 129.2, 128.9, 127.6, 126.4, 122.4, 117.7, 112.4, 60.7, 13.9. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₆H₁₃ClN₂O₂Na [M+Na]⁺, 323.0558; Found 323.0559.

Ethyl 2,6-diphenylimidazo[1,2-*a*]pyridine-3-carboxylate (3h)

Yield 58.1 mg (85%, yellow solid); mp 131-132 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.75-9.58 (m, 1H), 7.86-7.77 (m, 3H), 7.70 (dt, *J* = 9.3, 1.3 Hz, 1H), 7.64 (d, *J* = 7.3 Hz, 2H), 7.52-7.39 (m, 6H), 4.32 (q, *J* = 7.1 Hz, 2H), 1.23 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.2, 154.0, 146.4, 137.1, 134.5, 130.2, 129.2, 128.7, 128.5, 128.3, 128.1, 127.6, 127.1, 125.8, 117.3, 112.2, 60.5, 14.0. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₂₂H₁₉N₂O₂ [M+H]⁺, 343.1441; Found 343.1445.

Ethyl 2-phenyl-7-(trifluoromethyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3i)

Yield 43.4 mg (65%, white solid); mp 102-104 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.54 (d, *J* = 7.3 Hz, 1H), 8.04 (s, 1H), 7.82-7.61 (m, 2H), 7.56-7.37 (m, 3H), 7.21 (dd, *J* = 7.3, 1.9 Hz, 1H), 4.34 (q, *J* = 7.1 Hz, 2H), 1.24 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.8, 154.6, 145.3, 133.7, 130.2, 129.8, 129.5, 129.2, 129.1, 127.7, 127.0, 124.3, 121.6, 118.9, 115.3, 115.3, 115.2, 115.2, 113.2, 109.8, 109.8, 109.7, 60.9, 13.9. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₇H₁₄F₃N₂O₂ [M+H]⁺, 335.1002; Found 335.0998.

Ethyl 7-cyano-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3j)

Yield 39.6 mg (68%, yellow solid); mp 146-147 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.52 (dd, *J* = 7.2, 1.0 Hz, 1H), 8.10 (dt, *J* = 1.7, 0.8 Hz, 1H), 7.83-7.65 (m, 2H), 7.57-7.40 (m, 3H), 7.18 (dd, *J* = 7.3, 1.7 Hz, 1H), 4.34 (q, *J* = 7.1 Hz, 2H), 1.27 – 1.22 (m, 2H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.6, 155.1, 144.8, 133.4, 130.2, 129.3, 129.1, 127.8, 123.1, 117.0, 114.2, 113.7, 110.7, 61.2, 13.9. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₇H₁₄N₃O₂ [M+H]⁺, 292.1081; Found 292.1085.

Ethyl 2-(*p*-tolyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3k)

Yield 39.2 mg (70%, white solid); mp 88-89 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.40 (d, *J* = 7.1 Hz, 1H), 7.73 (d, *J* = 8.9 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 2H), 7.42 (ddd, *J* = 8.9, 6.9, 1.3 Hz, 1H), 7.24 (d, *J* = 7.8 Hz, 2H), 7.02 (td, *J* = 7.0, 1.3 Hz, 1H), 4.32 (q, *J* = 7.1 Hz, 2H), 2.42 (s, 3H), 1.26 (t, *J* = 7.2 Hz, 4H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.2, 153.6, 147.0, 138.6, 131.4, 130.1, 128.4, 128.3, 127.8, 117.4, 114.0, 111.8, 60.4, 21.4, 14.1. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₇H₁₇N₂O₂ [M+H]⁺, 281.1285; Found 281.1287.

Ethyl 2-(4-methoxyphenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3l)

Yield 41.4 mg (70%, white solid); mp 77-78 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.40 (dt, *J* = 7.0, 1.2 Hz, 1H), 7.80-7.74 (m, 2H), 7.72 (d, *J* = 9.0 Hz, 1H), 7.42 (ddd, *J* = 8.9, 6.9, 1.3 Hz, 1H), 7.05-6.90 (m, 3H), 4.33 (q, *J* = 7.1 Hz, 2H), 3.87 (s, 3H), 1.27 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.2, 160.2, 153.3, 147.0, 131.6, 128.4, 127.9, 126.7, 117.3, 113.9, 113.1, 111.6, 60.4, 55.3, 14.1. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₇H₁₇N₂O₃ [M+H]⁺, 297.1234; Found 297.1237.

Ethyl 2-(4-fluorophenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3m)

Yield 38.6 mg (68%, colorless solid); mp 103-105 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.40 (dt, *J* = 7.0, 1.2 Hz, 1H), 7.78-7.65 (m, 3H), 7.50-7.35 (m, 3H), 7.04 (td, *J* = 6.9, 1.3 Hz, 1H), 4.33 (q, *J* = 7.1 Hz, 2H), 1.25 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.9, 152.3, 147.1, 134.8, 133.0, 131.6, 128.4, 128.1, 127.8, 117.5, 114.2, 112.1, 60.6, 14.1. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₆H₁₃FN₂O₂Na [M+Na]⁺, 307.0853; Found 307.0848.

Ethyl 2-(4-chlorophenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3n)

Yield 40.2 mg (67%, yellow solid); mp 104-106 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.41 (d, *J* = 7.2 Hz, 1H), 7.73 (d, *J* = 8.6 Hz, 3H), 7.53-7.34 (m, 3H), 7.05 (t, *J* = 6.9 Hz, 1H), 4.33 (q, *J* = 7.1 Hz, 2H), 1.25 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.9, 152.3, 147.1, 134.8, 133.0, 131.6, 128.4, 128.1, 127.8, 117.5, 114.2, 112.1, 60.6, 14.1. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₆H₁₄ClN₂O₂ [M+H]⁺, 301.0738; Found 301.0739.

Ethyl 2-(4-bromophenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3o)

Yield 56.4 mg (82%, white solid); mp 117-118 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.40 (dt, *J* = 7.0, 1.2 Hz, 1H), 7.73 (dd, *J* = 9.0, 1.2 Hz, 1H), 7.70-7.64 (m, 2H), 7.61-7.53 (m, 2H), 7.44 (ddd, *J* = 8.7, 6.9, 1.3 Hz, 1H), 7.05 (td, *J* = 6.9, 1.2 Hz, 1H), 4.33 (q, *J* = 7.1 Hz, 2H), 1.26 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.9, 152.3, 147.1, 133.4, 131.9, 130.7, 128.4, 128.1, 123.1, 117.5, 114.3, 112.0, 60.6, 14.1. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₆H₁₄BrN₂O₂ [M+H]⁺, 345.0233; Found 345.0231.

Ethyl 2-([1,1'-biphenyl]-4-yl)imidazo[1,2-*a*]pyridine-3-carboxylate (3p)

Yield 51.3 mg (75%, white solid); mp 111-113 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.42 (dt, *J* = 7.1, 1.1 Hz, 1H), 7.95-7.82 (m, 2H), 7.75 (dt, *J* = 8.9, 1.2 Hz, 1H), 7.72-7.62 (m, 4H), 7.51-7.40 (m, 3H), 7.39-7.32 (m, 1H), 7.03 (td, *J* = 7.0, 1.3 Hz, 1H), 4.35 (q, *J* = 7.1 Hz, 2H), 1.27 (t, *J* = 7.1 Hz,

3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 161.1, 153.2, 147.1, 141.5, 140.9, 133.4, 130.7, 128.8, 128.4, 128.0, 127.5, 127.2, 126.3, 117.5, 114.1, 112.0, 60.5, 14.1. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{22}\text{H}_{19}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 343.1441; Found 343.1446.

Ethyl 2-(4-(trifluoromethyl)phenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3q)

Yield 58.8 mg (88%, yellow solid); mp 102-104 °C. ^1H NMR (400 MHz, CDCl_3) δ 9.41 (dt, J = 7.0, 1.2 Hz, 1H), 7.90 (d, J = 7.9 Hz, 2H), 7.75 (dt, J = 9.0, 1.2 Hz, 1H), 7.70 (d, J = 8.1 Hz, 2H), 7.46 (ddd, J = 9.0, 6.9, 1.3 Hz, 1H), 7.06 (td, J = 6.9, 1.3 Hz, 1H), 4.32 (q, J = 7.1 Hz, 2H), 1.23 (t, J = 7.1 Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 160.7, 151.9, 147.2, 138.2, 131.1, 130.8, 130.6, 130.4, 130.1, 128.4, 128.3, 128.2, 125.6, 124.5, 124.5, 124.4, 124.4, 122.9, 120.2, 117.6, 114.4, 112.4, 60.6, 14.0. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{17}\text{H}_{14}\text{F}_3\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 335.1002; Found 335.0999.

Ethyl 2-(naphthalen-2-yl)imidazo[1,2-*a*]pyridine-3-carboxylate (3r)

Yield 47.4 mg (75%, white solid); mp 121-122 °C. ^1H NMR (400 MHz, CDCl_3) δ 9.46 (dt, J = 7.0, 1.2 Hz, 1H), 8.31 (s, 1H), 7.98-7.85 (m, 4H), 7.78 (dt, J = 9.0, 1.2 Hz, 1H), 7.61-7.36 (m, 3H), 7.05 (td, J = 6.9, 1.3 Hz, 1H), 4.31 (q, J = 7.1 Hz, 2H), 1.19 (t, J = 7.1 Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 161.1, 153.4, 147.2, 133.5, 132.9, 131.8, 129.8, 128.5, 128.4, 128.1, 128.0, 127.7, 126.9, 126.5, 126.0, 117.5, 114.2, 112.2, 60.5, 14.1. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{20}\text{H}_{17}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 317.1285; Found 317.1283.

Ethyl 2-(thiophen-2-yl)imidazo[1,2-*a*]pyridine-3-carboxylate (3s)

Yield 35.4 mg (65%, white solid); mp 82-83 °C. ^1H NMR (400 MHz, CDCl_3) δ 9.36 (d, J = 7.1 Hz, 1H), 8.03 (d, J = 3.7 Hz, 1H), 7.69 (d, J = 9.3 Hz, 1H), 7.47 (d, J = 5.2 Hz, 1H), 7.40 (ddd, J = 8.6, 6.8, 1.3 Hz, 1H), 7.19-7.11 (m, 1H), 6.99 (td, J = 7.0, 1.3 Hz, 1H), 4.49 (q, J = 7.1 Hz, 2H),

1.46 (t, $J = 7.1$ Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 160.8, 146.9, 146.7, 136.6, 129.9, 128.5, 128.2, 128.1, 127.3, 117.2, 114.0, 110.9, 60.8, 14.4. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{14}\text{H}_{13}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$, 273.0692; Found 273.0695.

Ethyl 2-phenylbenzo[*d*]imidazo[2,1-*b*]thiazole-3-carboxylate (3t)

Yield 46.4 mg (72%, white solid); mp 82-83 °C. ^1H NMR (400 MHz, CDCl_3) δ 8.84 (d, $J = 8.4$ Hz, 1H), 7.71 (ddd, $J = 6.8, 5.0, 1.6$ Hz, 3H), 7.53-7.31 (m, 5H), 4.30 (q, $J = 7.1$ Hz, 2H), 1.19 (t, $J = 7.1$ Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 160.6, 154.8, 151.9, 134.4, 133.9, 130.1, 129.9, 128.6, 127.6, 126.4, 125.3, 123.8, 117.8, 117.6, 61.1, 13.8. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{18}\text{H}_{15}\text{N}_2\text{O}_2\text{S}$ $[\text{M}+\text{H}]^+$, 323.0849; Found 323.0846.

Ethyl 2-phenylimidazo[1,2-*a*]pyrimidine-3-carboxylate (3u)

Yield 42.7 mg (80%, yellow solid); mp 118-119 °C. ^1H NMR (400 MHz, CDCl_3) δ 9.67 (dd, $J = 7.0, 2.1$ Hz, 1H), 8.72 (dd, $J = 4.2, 2.1$ Hz, 1H), 8.01-7.78 (m, 2H), 7.44 (dd, $J = 5.0, 1.8$ Hz, 3H), 7.10 (dd, $J = 7.0, 4.2$ Hz, 1H), 4.33 (q, $J = 7.1$ Hz, 2H), 1.26 (t, $J = 7.1$ Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 160.8, 154.8, 152.5, 149.7, 136.1, 133.6, 130.4, 129.2, 127.6, 110.4, 110.3, 60.9, 14.0. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{15}\text{H}_{14}\text{N}_3\text{O}_2$ $[\text{M}+\text{H}]^+$, 268.1081; Found 268.1083.

Ethyl imidazo[1,2-*a*]pyridine-3-carboxylate (3v)

Yield 30.4 mg (80%, yellow solid); mp 54-55 °C. ^1H NMR (400 MHz, CDCl_3) δ 9.29 (d, $J = 6.9$ Hz, 1H), 8.31 (s, 1H), 7.73 (d, $J = 9.3$ Hz, 1H), 7.40 (ddd, $J = 9.1, 6.8, 1.3$ Hz, 1H), 7.03 (td, $J = 6.9, 1.0$ Hz, 1H), 4.42 (q, $J = 7.1$ Hz, 2H), 1.42 (t, $J = 7.1$ Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 160.6, 148.5, 141.3, 127.6, 127.4, 117.7, 115.9, 114.1, 60.4, 14.4. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{10}\text{H}_{11}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 191.0815; Found 191.0812.

Ethyl 8-methylimidazo[1,2-*a*]pyridine-3-carboxylate (3w)

Yield 35.9mg (88%, colorless solid); mp 57-59 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.17 (d, *J* = 6.9 Hz, 1H), 8.28 (s, 1H), 7.21 (ddd, *J* = 7.1, 1.9, 1.0 Hz, 1H), 6.95 (t, *J* = 6.9 Hz, 1H), 4.42 (q, *J* = 7.1 Hz, 2H), 2.66 (s, 3H), 1.43 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.8, 148.7, 140.8, 127.7, 126.5, 125.5, 116.3, 114.3, 60.4, 17.0, 14.5. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₁H₁₃N₂O₂ [M+H]⁺, 205.0972; Found 205.0969.

Ethyl 2-(*tert*-butyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3x)

Yield 42.8 mg (87%, colorless oil). ¹H NMR (400 MHz, CDCl₃) δ 9.34 (d, *J* = 7.0 Hz, 1H), 7.69 (d, *J* = 8.9 Hz, 1H), 7.35 (ddd, *J* = 8.7, 6.9, 1.2 Hz, 1H), 6.95 (td, *J* = 6.9, 1.3 Hz, 1H), 4.48 (q, *J* = 7.1 Hz, 2H), 1.55 (s, 9H), 1.48 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 163.5, 161.3, 145.4, 128.3, 127.0, 117.3, 113.7, 112.3, 60.6, 34.6, 29.6, 14.3. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₄H₁₉N₂O₂ [M+H]⁺, 247.1441; Found 247.1444.

Ethyl 6-nitroimidazo[1,2-*a*]pyridine-3-carboxylate (3y)

Yield 21.2 mg (45%, yellow solid); mp 155-157 °C. ¹H NMR (400 MHz, CDCl₃) δ 10.39 (dd, *J* = 2.2, 0.8 Hz, 1H), 8.44 (s, 1H), 8.20 (dd, *J* = 9.8, 2.3 Hz, 1H), 7.83 (dd, *J* = 9.8, 0.8 Hz, 1H), 4.49 (q, *J* = 7.1 Hz, 2H), 1.46 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 159.9, 148.2, 143.6, 138.6, 127.6, 121.6, 118.2, 117.6, 61.4, 14.4. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₀H₉N₃O₄Na [M+Na]⁺, 258.0485; Found 258.0483.

Ethyl 6-(trifluoromethyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3z)

Yield 43.9 mg (85%, white solid); mp 77-78 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.72 (s, 1H), 8.38 (s, 1H), 7.84 (d, *J* = 9.4 Hz, 1H), 7.57 (dd, *J* = 9.4, 1.9 Hz, 1H), 4.46 (q, *J* = 7.1 Hz, 2H), 1.45 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.3, 148.1, 142.5, 127.3, 126.8, 126.8, 126.7,

126.6, 124.6, 123.4, 123.4, 123.4, 121.9, 119.2, 119.2, 118.9, 118.6, 118.5, 118.2, 117.1, 61.0, 14.3. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{11}H_{10}F_3N_2O_2$ $[M+H]^+$, 259.0689; Found 259.0691.

Ethyl 6-cyanoimidazo[1,2-*a*]pyridine-3-carboxylate (3aa)

Yield 37.8 mg (88%, white solid); mp 122-124 °C. 1H NMR (400 MHz, $CDCl_3$) δ 9.79 (dd, J = 1.8, 1.0 Hz, 1H), 8.39 (s, 1H), 7.83 (dd, J = 9.3, 1.1 Hz, 1H), 7.53 (dd, J = 9.4, 1.7 Hz, 1H), 4.47 (q, J = 7.1 Hz, 2H), 1.45 (t, J = 7.2 Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.1, 147.4, 142.7, 133.6, 127.4, 118.9, 117.1, 116.0, 100.8, 61.2, 14.4. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{11}H_{10}N_3O_2$ $[M+H]^+$, 216.0768; Found 216.0770.

Ethyl 7-fluoroimidazo[1,2-*a*]pyridine-3-carboxylate (3ab)

Yield 28.7 mg (69%, white solid); mp 105-107 °C. 1H NMR (400 MHz, $CDCl_3$) δ 9.31 (dd, J = 7.6, 5.7 Hz, 1H), 8.27 (s, 1H), 7.36 (dd, J = 8.9, 2.6 Hz, 1H), 6.92 (td, J = 7.4, 2.6 Hz, 1H), 4.42 (q, J = 7.1 Hz, 2H), 1.42 (t, J = 7.1 Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 162.9, 160.6, 160.4, 149.3, 149.2, 142.4, 129.4, 129.3, 116.0, 106.4, 106.2, 102.2, 101.9, 60.6, 14.5. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{10}H_{10}FN_2O_2$ $[M+H]^+$, 209.0721; Found 209.0725.

Ethyl 7-chloroimidazo[1,2-*a*]pyridine-3-carboxylate (3ac)

Yield 26.9 mg (60%, white solid); mp 104-106 °C. 1H NMR (400 MHz, $CDCl_3$) δ 9.24 (d, J = 7.4 Hz, 1H), 8.28 (s, 1H), 7.74 (d, J = 1.3 Hz, 1H), 7.03 (dd, J = 7.4, 2.1 Hz, 1H), 4.42 (q, J = 7.1 Hz, 2H), 1.42 (t, J = 7.2 Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.4, 148.3, 141.9, 134.4, 127.9, 116.9, 116.3, 115.8, 60.7, 14.4. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{11}H_{10}ClN_2O_2$ $[M+H]^+$, 225.0425; Found 225.0430.

Ethyl 6-bromoimidazo[1,2-*a*]pyridine-3-carboxylate (3ad)

Yield 42.2 mg (79%, white solid); mp 118-120 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.49 (d, *J* = 1.1 Hz, 1H), 8.27 (s, 1H), 7.63 (d, *J* = 9.5 Hz, 1H), 7.49 (dd, *J* = 9.4, 1.9 Hz, 1H), 4.43 (q, *J* = 7.1 Hz, 2H), 1.43 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.4, 146.8, 141.5, 131.0, 127.9, 118.4, 116.2, 109.3, 60.8, 14.4. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₁₀H₁₀BrN₂O₂ [M+H]⁺, 268.9920; Found 268.9924.

Ethyl imidazo[1,2-*a*]pyrimidine-3-carboxylate (3ae)

Yield 23.7 mg (62%, white solid); mp 109-110 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.58 (dd, *J* = 6.9, 2.1 Hz, 1H), 8.74 (dd, *J* = 4.2, 2.1 Hz, 1H), 8.47 (s, 1H), 7.13 (dd, *J* = 6.9, 4.2 Hz, 1H), 4.44 (q, *J* = 7.1 Hz, 2H), 1.44 (t, *J* = 7.1 Hz, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.3, 152.2, 150.9, 142.7, 135.5, 114.5, 110.4, 61.0, 14.4. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₉H₉N₃O₂Na [M+Na]⁺, 214.0587; Found 214.0588.

Ethyl imidazo[1,2-*a*]pyrazine-3-carboxylate (3af)

Yield 34.4 mg (90%, yellow solid); mp 118-119 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.24 (s, 0H), 9.15 (dt, *J* = 4.6, 1.1 Hz, 1H), 8.38 (s, 1H), 8.15 (d, *J* = 4.6 Hz, 1H), 4.47 (q, *J* = 7.2 Hz, 2H), 1.45 (t, *J* = 7.2 Hz, 2H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.1, 144.1, 142.7, 141.7, 131.8, 120.1, 117.0, 61.2, 14.4. HRMS Calcd (ESI-TOF) *m/z*: calcd for C₉H₁₀N₃O₂ [M+H]⁺, 192.0768; Found 192.0771.

Methyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4a)

Yield 40.3 mg (80%, white solid); mp 122-124 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.40 (dd, *J* = 7.0, 1.3 Hz, 1H), 7.87-7.63 (m, 3H), 7.58-7.33 (m, 4H), 7.03 (tt, *J* = 7.0, 1.8 Hz, 1H), 3.81 (s, 3H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.5, 153.7, 147.2, 134.4, 130.1, 128.7, 128.4, 128.0, 127.7,

117.5, 114.1, 111.7, 51.2. HRMS Calcd (ESI-TOF) m/z: calcd for $C_{15}H_{13}N_2O_2$ $[M+H]^+$, 253.0972; Found 253.0967.

Propyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4b)

Yield 43.7 mg (78%, yellow solid); mp 49-51 °C. 1H NMR (400 MHz, $CDCl_3$) δ 9.43 (dt, J = 7.0, 1.2 Hz, 1H), 7.84-7.64 (m, 3H), 7.51-7.37 (m, 4H), 7.03 (td, J = 6.9, 1.3 Hz, 1H), 4.20 (t, J = 6.6 Hz, 2H), 1.58 (h, J = 7.2 Hz, 2H), 0.78 (t, J = 7.4 Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 161.2, 153.6, 147.1, 134.6, 130.1, 128.6, 128.4, 127.9, 127.6, 117.5, 114.1, 112.1, 66.2, 21.8, 10.4. HRMS Calcd (ESI-TOF) m/z: calcd for $C_{17}H_{17}N_2O_2$ $[M+H]^+$, 281.1285; Found 281.1284.

Isopropyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4c)

Yield 48.7 mg (87%, colorless oil). 1H NMR (400 MHz, $CDCl_3$) δ 9.42 (dt, J = 7.0, 1.2 Hz, 1H), 7.83-7.66 (m, 3H), 7.51-7.35 (m, 4H), 7.02 (td, J = 6.9, 1.3 Hz, 1H), 5.22 (hept, J = 6.3 Hz, 1H), 1.21 (d, J = 6.3 Hz, 6H); $^{13}C\{^1H\}$ NMR (101 MHz, $CDCl_3$) δ 160.7, 153.5, 147.0, 134.6, 130.3, 128.6, 128.3, 127.8, 127.4, 117.4, 114.0, 112.3, 68.3, 21.8. HRMS Calcd (ESI-TOF) m/z: calcd for $C_{17}H_{17}N_2O_2$ $[M+H]^+$, 281.1285; Found 281.1289.

Butyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4d)

Yield 52.9 mg (90%, yellow oil). 1H NMR (400 MHz, $CDCl_3$) δ 9.43 (dt, J = 7.1, 1.2 Hz, 1H), 7.82-7.66 (m, 3H), 7.48-7.37 (m, 4H), 7.03 (td, J = 6.9, 1.3 Hz, 1H), 4.24 (t, J = 6.5 Hz, 2H), 1.53 (ddt, J = 9.0, 7.8, 6.4 Hz, 2H), 1.24-1.09 (m, 2H), 0.83 (t, J = 7.4 Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 161.3, 153.7, 147.1, 134.6, 130.11, 128.6, 128.3, 127.9, 127.5, 117.5, 114.1, 112.1, 64.3, 30.5, 19.1, 13.6. HRMS Calcd (ESI-TOF) m/z: calcd for $C_{18}H_{19}N_2O_2$ $[M+H]^+$, 295.1441; Found 295.1440.

***tert*-Butyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4e)**

Yield 35.3 mg (60%, yellow oil). ^1H NMR (400 MHz, CDCl_3) δ 9.33 (dq, $J = 7.0, 1.1$ Hz, 1H), 7.69-7.59 (m, 3H), 7.38-7.29 (m, 4H), 6.93 (tt, $J = 6.9, 1.0$ Hz, 1H), 1.35 (s, 9H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 160.7, 153.2, 146.8, 138.5, 134.9, 130.1, 128.4, 128.3, 127.6, 127.5, 117.4, 113.8, 81.9, 28.2. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{18}\text{H}_{18}\text{N}_2\text{O}_2\text{Na}$ $[\text{M}+\text{Na}]^+$, 317.1260; Found 317.1266.

Neopentyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4f)

Yield 51.1 mg (83%, colorless oil). ^1H NMR (400 MHz, CDCl_3) δ 9.47 (dt, $J = 7.0, 1.1$ Hz, 1H), 7.74 (dt, $J = 9.0, 1.1$ Hz, 1H), 7.70-7.65 (m, 2H), 7.49-7.36 (m, 4H), 7.06 (t, $J = 6.9$ Hz, 1H), 3.94 (s, 2H), 0.72 (s, 9H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 161.6, 153.8, 147.1, 135.0, 130.0, 128.5, 128.4, 128.0, 127.9, 117.5, 114.1, 112.1, 74.2, 31.2, 26.3. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{19}\text{H}_{21}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 309.1598; Found 309.1602.

Dodecyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4g)

Yield 62.5 mg (77%, yellow oil). ^1H NMR (400 MHz, CDCl_3) δ 9.43 (dd, $J = 7.0, 1.3$ Hz, 1H), 7.93-7.63 (m, 3H), 7.54-7.32 (m, 4H), 7.04 (td, $J = 6.9, 1.3$ Hz, 1H), 4.22 (t, $J = 6.5$ Hz, 2H), 3.62 (t, $J = 6.6$ Hz, 2H), 1.64-1.45 (m, 4H), 1.35-1.17 (m, 14H), 0.88 (t, $J = 6.6$ Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 161.2, 153.4, 147.0, 134.4, 130.1, 128.6, 128.3, 128.0, 127.5, 117.5, 114.2, 112.0, 64.7, 63.0, 32.8, 31.9, 29.6, 29.5, 29.3, 29.2, 28.5, 25.9, 25.8, 22.7, 14.1. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{26}\text{H}_{35}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 407.2693; Found 407.2695.

Cyclopropylmethyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4h)

Yield 54.9 mg (94%, yellow solid); mp 82-84 °C. ^1H NMR (400 MHz, CDCl_3) δ 9.42 (d, $J = 7.0$ Hz, 1H), 7.87-7.79 (m, 2H), 7.77-7.71 (m, 1H), 7.51-7.38 (m, 4H), 7.03 (td, $J = 6.9, 1.2$ Hz, 1H), 4.09 (d, $J = 7.3$ Hz, 2H), 1.19-0.95 (m, 1H), 0.66-0.42 (m, 2H), 0.29-0.20 (m, 2H); $^{13}\text{C}\{^1\text{H}\}$ NMR

(100 MHz, CDCl₃) δ 161.2, 153.6, 147.1, 134.4, 130.3, 128.6, 128.4, 127.9, 127.6, 117.4, 114.1, 112.0, 69.2, 9.7, 3.5. HRMS Calcd (ESI-TOF) m/z : calcd for C₁₈H₁₇N₂O₂ [M+H]⁺, 293.1285; Found 293.1282.

Cyclobutyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4i)

Yield 55.5 mg (95%, yellow solid); mp 98-100 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.41 (dd, J = 7.0, 1.3 Hz, 1H), 7.87-7.68 (m, 3H), 7.56-7.35 (m, 4H), 7.03 (td, J = 6.9, 1.3 Hz, 1H), 5.19 (p, J = 7.4 Hz, 1H), 2.34 (dt, J = 10.0, 7.6, 2.7 Hz, 2H), 1.99 (ddd, J = 12.3, 6.3, 2.5 Hz, 2H), 1.80-1.60 (m, 2H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.5, 153.8, 147.1, 134.5, 130.3, 130.3, 128.7, 128.3, 127.9, 127.5, 117.5, 114.1, 111.9, 69.0, 30.1, 13.8. HRMS Calcd (ESI-TOF) m/z : calcd for C₁₈H₁₆N₂O₂Na [M+Na]⁺, 315.1104; Found 315.1102.

Cyclopentyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4j)

Yield 55.1 mg (90%, yellow solid); mp 93-94 °C. ¹H NMR (400 MHz, CDCl₃) δ 9.44 (dd, J = 6.7, 1.4 Hz, 1H), 7.86-7.62 (m, 3H), 7.48-7.37 (m, 4H), 7.02 (td, J = 7.0, 1.3 Hz, 1H), 5.39 (tt, J = 5.7, 2.6 Hz, 1H), 1.80 (dtq, J = 14.3, 5.6, 2.8, 2.0 Hz, 2H), 1.65 (ddt, J = 13.4, 10.4, 3.0 Hz, 2H), 1.56-1.35 (m, 4H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 161.0, 153.6, 147.0, 134.8, 130.1, 128.5, 128.3, 127.8, 127.5, 117.4, 114.0, 112.4, 77.6, 32.6, 23.5. HRMS Calcd (ESI-TOF) m/z : calcd for C₁₉H₁₈N₂O₂Na [M+Na]⁺, 329.1260; Found 329.1262.

Cyclohexyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4k)

Yield 56.9 mg (89%, yellow oil). ¹H NMR (400 MHz, CDCl₃) δ 9.43 (d, J = 7.0 Hz, 1H), 7.90-7.64 (m, 3H), 7.42 (qd, J = 4.8, 1.4 Hz, 4H), 7.03 (t, J = 6.3 Hz, 1H), 5.01 (tt, J = 8.5, 3.8 Hz, 1H), 1.86-1.80 (m, 3H), 1.56-1.47 (m, 2H), 1.37-1.21 (m, 5H); ¹³C{¹H} NMR (100 MHz, CDCl₃) δ 160.7, 153.5, 147.0, 134.7, 130.2, 128.6, 128.3, 127.8, 127.6, 117.4, 114.0, 112.4, 73.2, 70.2, 35.5,

31.5, 25.5, 25.3, 24.2, 23.4. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{20}H_{21}N_2O_2$ $[M+H]^+$, 321.1598; Found 321.1595.

1,2,3,4-Tetrahydronaphthalen-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4l)

Yield 55.9mg (76%, yellow oil). 1H NMR (400 MHz, $CDCl_3$) δ 9.45 (dt, $J = 7.0, 1.2$ Hz, 1H), 7.73 (dd, $J = 9.0, 1.2$ Hz, 1H), 7.51-7.44 (m, 2H), 7.42 (ddd, $J = 8.8, 6.9, 1.3$ Hz, 1H), 7.37 (dd, $J = 7.6, 1.4$ Hz, 1H), 7.29-7.19 (m, 2H), 7.19-7.07 (m, 4H), 7.03 (td, $J = 6.9, 1.3$ Hz, 1H), 6.29 (t, $J = 3.9$ Hz, 1H), 2.64 (dd, $J = 8.2, 4.8$ Hz, 2H), 2.03-1.77 (m, 2H), 1.63-1.47 (m, 1H), 1.39-1.18 (m, 1H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.6, 153.8, 147.0, 138.1, 134.3, 134.0, 130.1, 129.9, 129.0, 128.4, 128.3, 128.3, 127.9, 127.4, 126.0, 117.5, 114.1, 112.2, 69.9, 28.9, 28.7, 18.0. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{24}H_{21}N_2O_2$ $[M+H]^+$, 369.1598; Found 369.1594.

Benzyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4m)

Yield 51.2 mg (78%, white solid); mp 110-112 °C. 1H NMR (400 MHz, $CDCl_3$) δ 9.42 (dt, $J = 7.0, 1.2$ Hz, 1H), 7.80-7.62 (m, 3H), 7.45-7.31 (m, 4H), 7.30-7.26 (m, 3H), 7.19-7.10 (m, 2H), 7.02 (td, $J = 6.9, 1.3$ Hz, 1H), 5.28 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.8, 154.0, 147.2, 135.4, 134.4, 130.1, 128.6, 128.5, 128.4, 128.4, 128.2, 128.2, 128.1, 128.1, 127.8, 127.7, 117.5, 114.2, 111.8, 66.0. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{21}H_{17}N_2O_2$ $[M+H]^+$, 329.1285; Found 329.1290.

Benzo[*d*][1,3]dioxol-5-ylmethyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4n)

Yield 52.1 mg (70%, yellow solid); mp 132-134 °C. 1H NMR (400 MHz, $CDCl_3$) δ 9.41 (d, $J = 7.0$ Hz, 1H), 7.73 (dd, $J = 8.9, 1.1$ Hz, 1H), 7.69-7.63 (m, 2H), 7.48-7.31 (m, 4H), 7.04 (t, $J = 6.9$ Hz, 1H), 6.82-6.66 (m, 3H), 5.95 (s, 2H), 5.17 (s, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.8, 154.0, 147.6, 147.5, 147.2, 134.4, 130.1, 129.2, 128.7, 128.4, 128.1, 127.7, 122.3, 120.5, 117.5,

114.2, 109.1, 108.2, 108.1, 107.9, 101.1, 101.0, 66.0, 65.2. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{22}H_{17}N_2O_4$ $[M+H]^+$, 373.1183; Found 373.1182.

3-Methylbut-2-en-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4o)

Yield 52.0 mg (85%, yellow oil). 1H NMR (400 MHz, $CDCl_3$) δ 9.41 (dt, $J = 7.0, 1.3$ Hz, 1H), 7.85-7.68 (m, 3H), 7.47-7.32 (m, 4H), 7.02 (td, $J = 6.9, 1.3$ Hz, 1H), 5.32 (dddd, $J = 7.2, 5.8, 2.9, 1.4$ Hz, 1H), 4.74 (d, $J = 7.2$ Hz, 2H), 1.74 (s, 3H), 1.65 (s, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 161.1, 153.5, 147.0, 139.5, 134.4, 130.3, 128.6, 128.4, 127.9, 127.5, 118.2, 117.4, 114.0, 112.0, 61.1, 25.7, 18.0. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{19}H_{19}N_2O_2$ $[M+H]^+$, 307.1441; Found 307.1436.

2,2-Dimethoxyethyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4p)

Yield 50.9 mg (78%, yellow oil). 1H NMR (400 MHz, $CDCl_3$) δ 9.34 (dd, $J = 7.0, 1.3$ Hz, 1H), 7.77-7.59 (m, 4H), 7.44-7.31 (m, 5H), 6.98 (td, $J = 6.9, 1.3$ Hz, 1H), 4.41 (t, $J = 5.4$ Hz, 1H), 4.20 (d, $J = 5.4$ Hz, 2H), 3.22 (s, 6H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 160.5, 154.1, 147.3, 134.4, 130.2, 128.7, 128.5, 128.2, 127.7, 117.5, 114.3, 111.5, 101.0, 62.8, 53.8. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{18}H_{19}N_2O_4$ $[M+H]^+$, 327.1339; Found 327.1345.

9H-fluoren-9-one (5q)

Yield 21.6 mg (60%, yellow solid); mp 84-85 °C. 1H NMR (400 MHz, $CDCl_3$) δ 7.65 (dd, $J = 7.4, 1.0$ Hz, 2H), 7.53-7.40 (m, 4H), 7.28 (td, $J = 7.1, 1.4$ Hz, 2H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 193.9, 144.4, 134.7, 134.1, 129.1, 124.3, 120.3. HRMS Calcd (ESI-TOF) m/z : calcd for $C_{13}H_9O$ $[M+H]^+$, 181.0648; Found 181.0651.

(*Z*)-hex-3-en-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4r)

Yield 49.9 mg (78%, yellow oil). ^1H NMR (400 MHz, CDCl_3) δ 9.41 (dt, $J = 7.0, 1.2$ Hz, 1H), 7.85-7.69 (m, 3H), 7.53-7.33 (m, 4H), 7.05 (td, $J = 7.0, 1.2$ Hz, 1H), 5.44 (dtt, $J = 10.5, 7.3, 1.6$ Hz, 1H), 5.18 (dtt, $J = 10.6, 7.2, 1.6$ Hz, 1H), 4.25 (t, $J = 6.9$ Hz, 2H), 2.33 (qd, $J = 7.0, 1.6$ Hz, 2H), 1.98 (pd, $J = 7.5, 1.7$ Hz, 2H), 0.93 (t, $J = 7.5$ Hz, 3H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 161.1, 153.6, 147.0, 134.5, 134.3, 130.2, 128.7, 128.4, 128.1, 127.6, 123.7, 117.5, 114.2, 112.0, 64.2, 26.5, 20.6, 14.1. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{20}\text{H}_{21}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 321.1598; Found 321.1602.

(*R,E*)-3,7,11-trimethyldodec-2-en-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4s)

Yield 86.3 mg (86%, yellow oil). ^1H NMR (400 MHz, CDCl_3) δ 9.43 (d, $J = 7.0$ Hz, 1H), 7.84-7.61 (m, 3H), 7.42 (dt, $J = 7.4, 2.2$ Hz, 4H), 7.03 (t, $J = 7.0$ Hz, 1H), 5.31 (t, $J = 7.3$ Hz, 1H), 4.77 (d, $J = 7.1$ Hz, 2H), 1.98 (t, $J = 7.9$ Hz, 2H), 1.65 (s, 3H), 1.52 (dtd, $J = 13.3, 7.8, 6.6, 2.1$ Hz, 1H), 1.43-1.18 (m, 18H), 0.86 (dt, $J = 6.9, 2.2$ Hz, 12 H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 161.1, 153.5, 147.0, 143.1, 134.3, 130.3, 128.6, 128.4, 127.9, 127.5, 117.6, 117.4, 114.0, 112.0, 61.3, 39.8, 39.4, 37.4, 37.4, 37.3, 36.7, 32.8, 32.7, 28.0, 25.0, 24.8, 24.5, 22.7, 22.6, 19.7, 19.7, 16.4. HRMS Calcd (ESI-TOF) m/z : calcd for $\text{C}_{34}\text{H}_{49}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$, 517.3789; Found 517.3792.

(*E*)-3,7-dimethylocta-2,6-dien-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4t)

Yield 62.8 mg (84%, yellow oil). ^1H NMR (400 MHz, CDCl_3) δ 9.41 (dt, $J = 7.0, 1.2$ Hz, 1H), 7.81-7.68 (m, 3H), 7.49-7.37 (m, 4H), 7.03 (td, $J = 6.9, 1.3$ Hz, 1H), 5.31 (td, $J = 7.2, 1.6$ Hz, 1H), 5.06 (ddt, $J = 8.4, 5.5, 1.4$ Hz, 1H), 4.75 (dd, $J = 7.2, 1.1$ Hz, 2H), 2.14-1.94 (m, 5H), 1.74 (s, 2H), 1.65 (s, 2H), 1.57 (s, 2H); $^{13}\text{C}\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 161.0, 153.5, 147.0, 142.6, 134.3, 132.1, 130.3, 128.6, 128.4, 127.9, 127.5, 123.6, 118.8, 117.4, 114.1, 112.0, 61.0, 32.2, 26.5, 25.6,

23.4, 17.6. HRMS Calcd (ESI-TOF) m/z: calcd for $C_{24}H_{27}N_2O_2$ $[M+H]^+$, 375.2067; Found 375.2072.

(R)-3,7-dimethyloctyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4u)

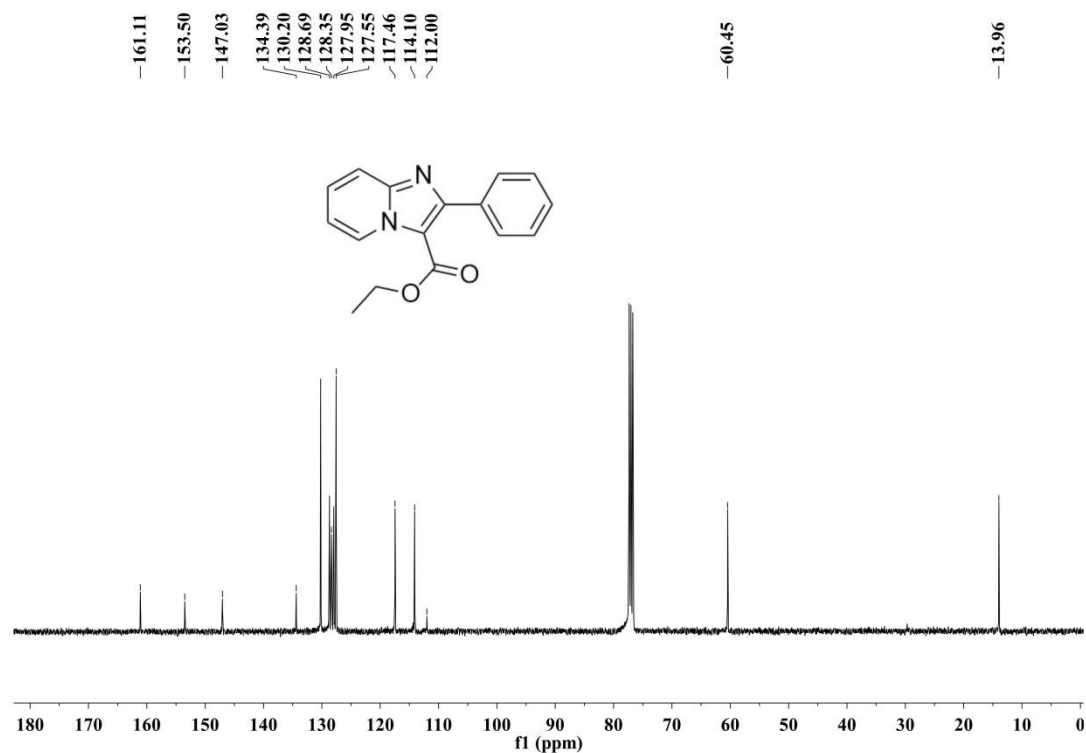
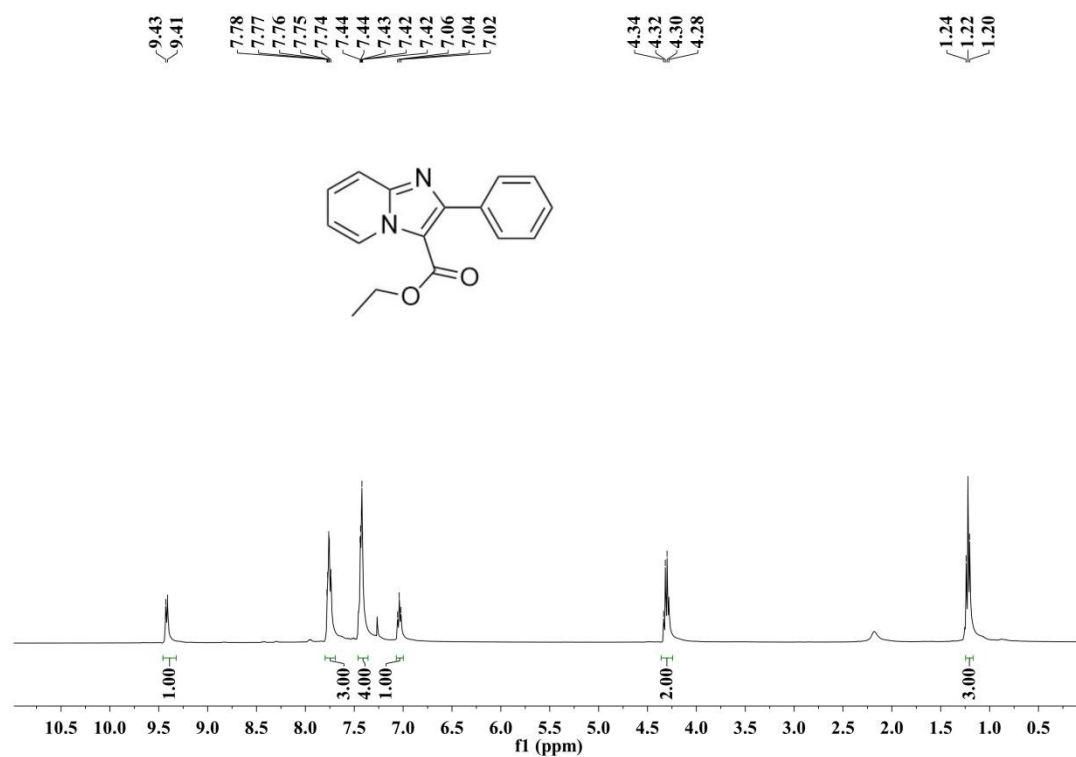
Yield 67.3 mg (89%, yellow oil). 1H NMR (400 MHz, $CDCl_3$) δ 9.43 (dt, $J = 7.0, 1.2$ Hz, 1H), 7.78-7.64 (m, 3H), 7.50-7.33 (m, 4H), 7.02 (td, $J = 6.9, 1.3$ Hz, 1H), 4.28 (qdd, $J = 10.9, 7.1, 6.1$ Hz, 2H), 1.62-1.43 (m, 2H), 1.39-1.04 (m, 8H), 0.86 (d, $J = 6.6$ Hz, 6H), 0.79 (d, $J = 6.4$ Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 161.2, 153.5, 147.0, 134.5, 130.1, 128.6, 128.3, 127.9, 127.5, 117.5, 114.1, 112.0, 62.9, 39.2, 37.0, 35.4, 29.49, 27.9, 24.5, 22.7, 22.6, 19.2. HRMS Calcd (ESI-TOF) m/z: calcd for $C_{24}H_{31}N_2O_2$ $[M+H]^+$, 379.2380; Found 379.2386.

Saripidem

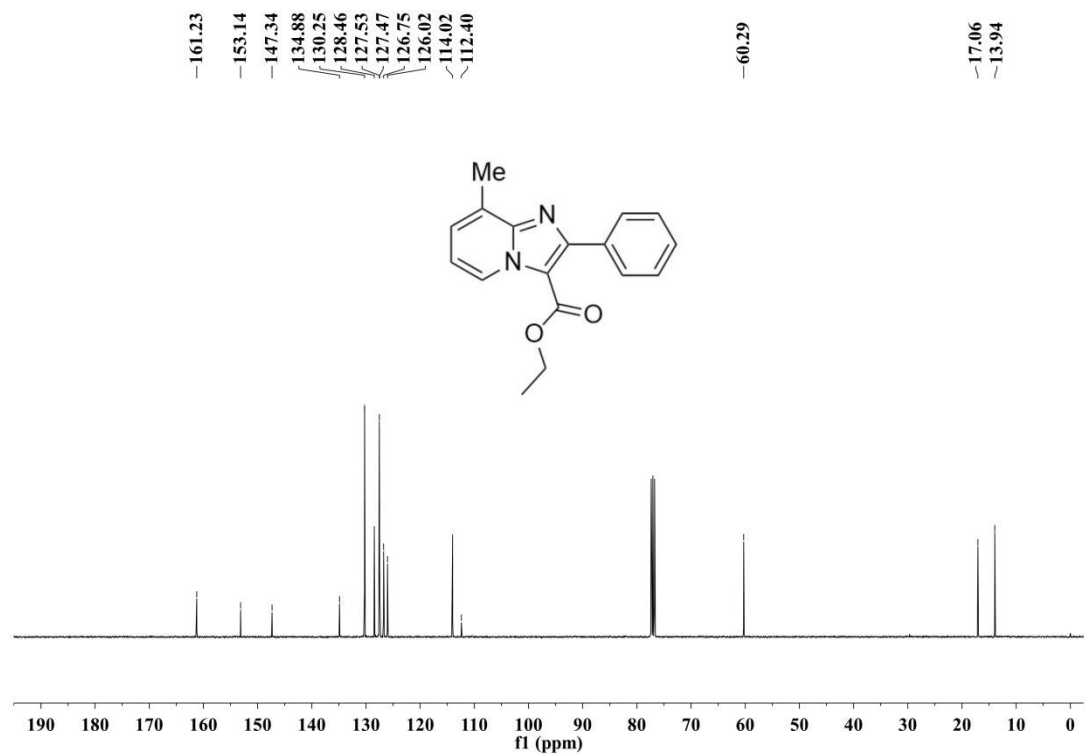
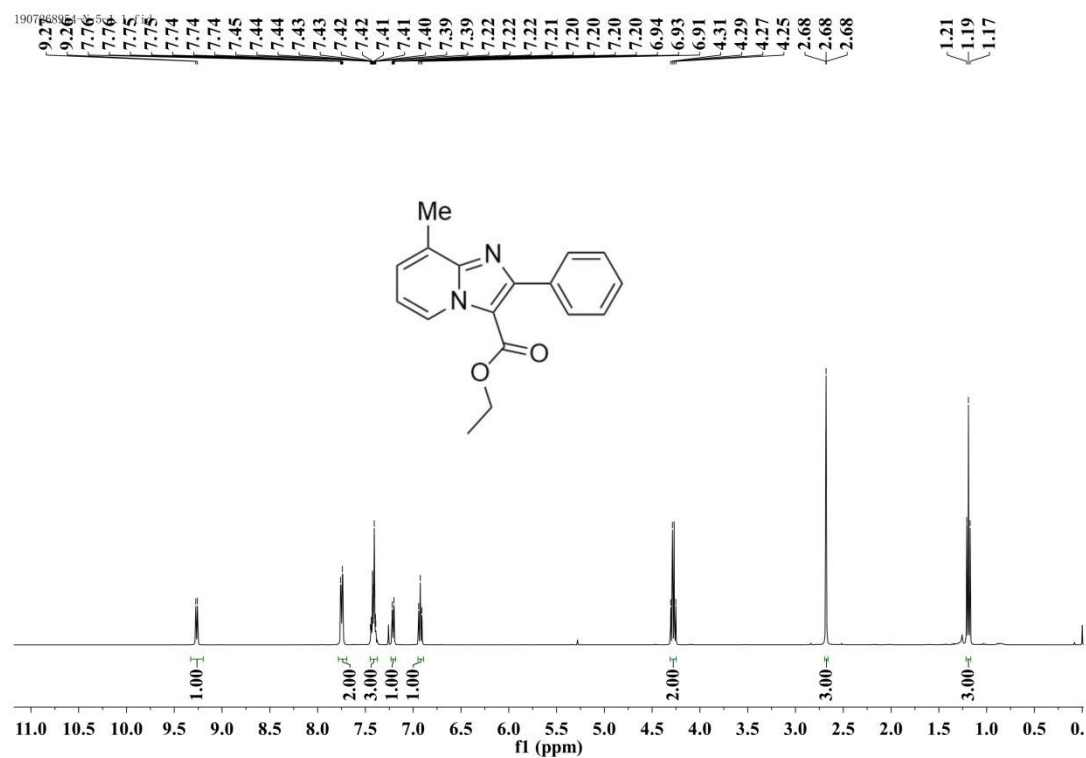
Yield 248.9 mg (73%, white solid); mp 171-172 °C. 1H NMR (400 MHz, $CDCl_3$) δ 8.36 (d, $J = 6.9$ Hz, 1H), 7.66 (d, $J = 8.3$ Hz, 2H), 7.62 (d, $J = 9.1$ Hz, 1H), 7.43 (d, $J = 8.4$ Hz, 2H), 7.30-7.15 (m, 1H), 6.82 (t, $J = 6.8$ Hz, 1H), 5.16 (s, 2H), 2.57 (s, 3H), 2.26 (t, $J = 7.4$ Hz, 2H), 1.65 (h, $J = 7.4$ Hz, 2H), 0.93 (t, $J = 7.4$ Hz, 3H); $^{13}C\{^1H\}$ NMR (100 MHz, $CDCl_3$) δ 173.8, 145.5, 145.0, 134.4, 133.0, 130.3, 129.2, 125.8, 125.7, 117.6, 116.3, 113.1, 38.9, 35.6, 33.8, 18.7, 14.1. HRMS Calcd (ESI-TOF) m/z: calcd for $C_{19}H_{21}ClN_3O$ $[M+H]^+$, 342.1368; Found 342.1389.

E. Copies of ^1H and ^{13}C NMR spectra

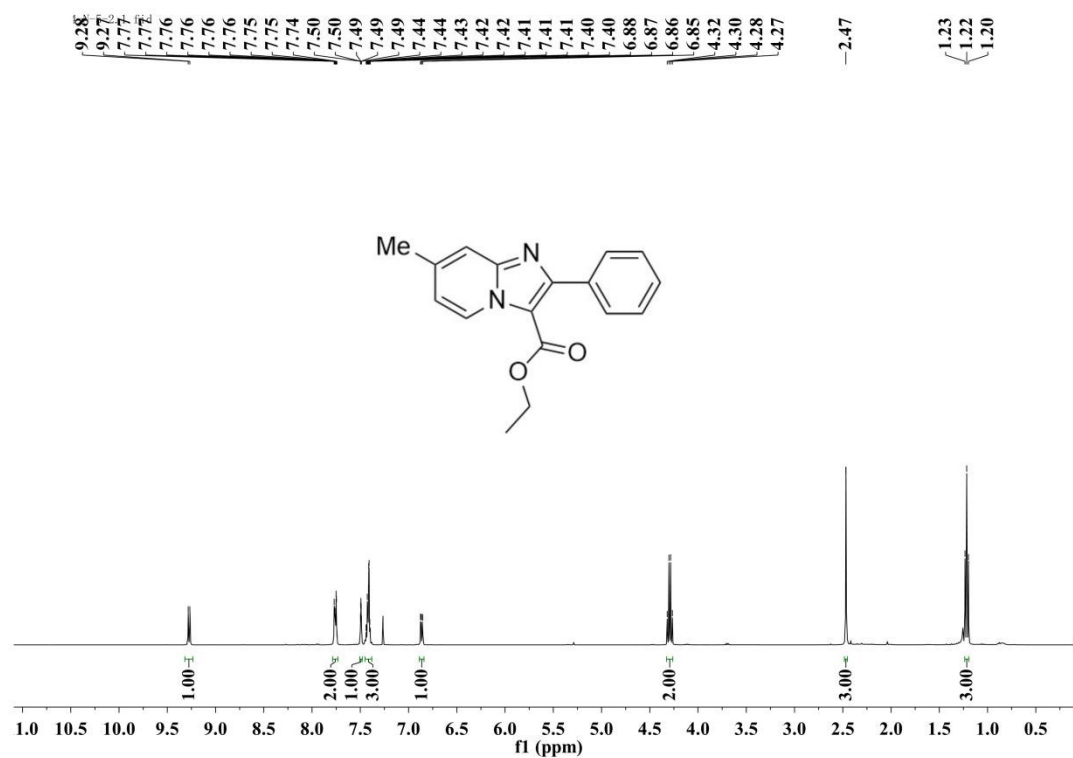
Ethyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (3a)

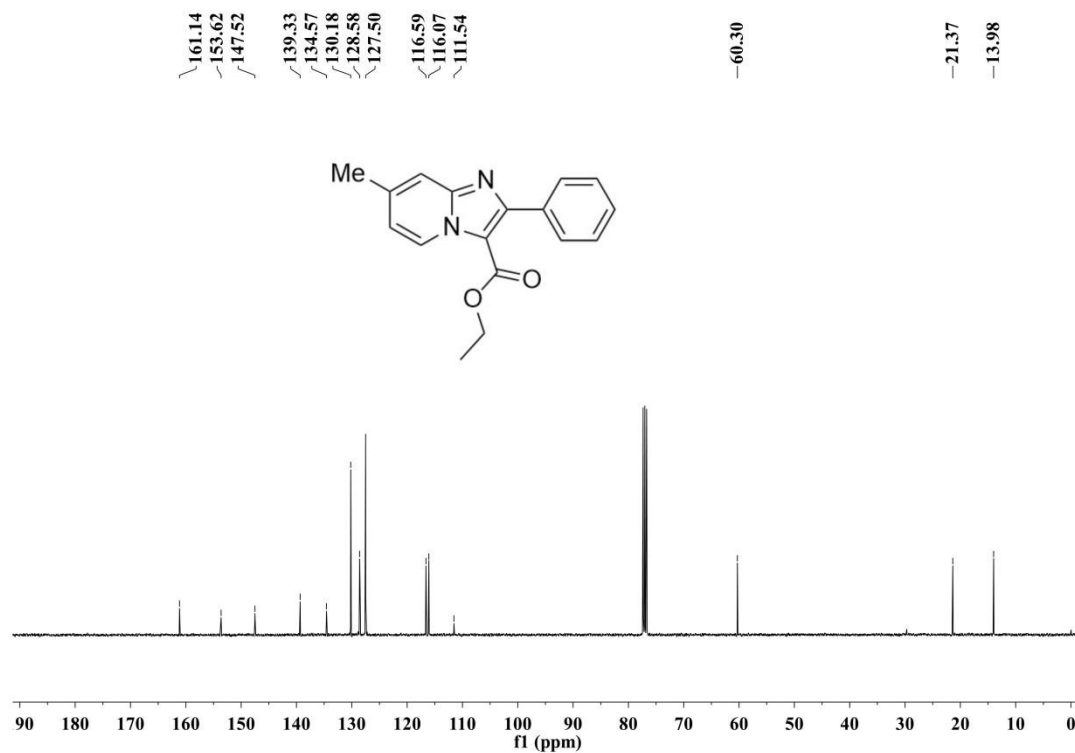


Ethyl 8-methyl-2-phenylimidazo[1,2-a]pyridine-3-carboxylate (3b)

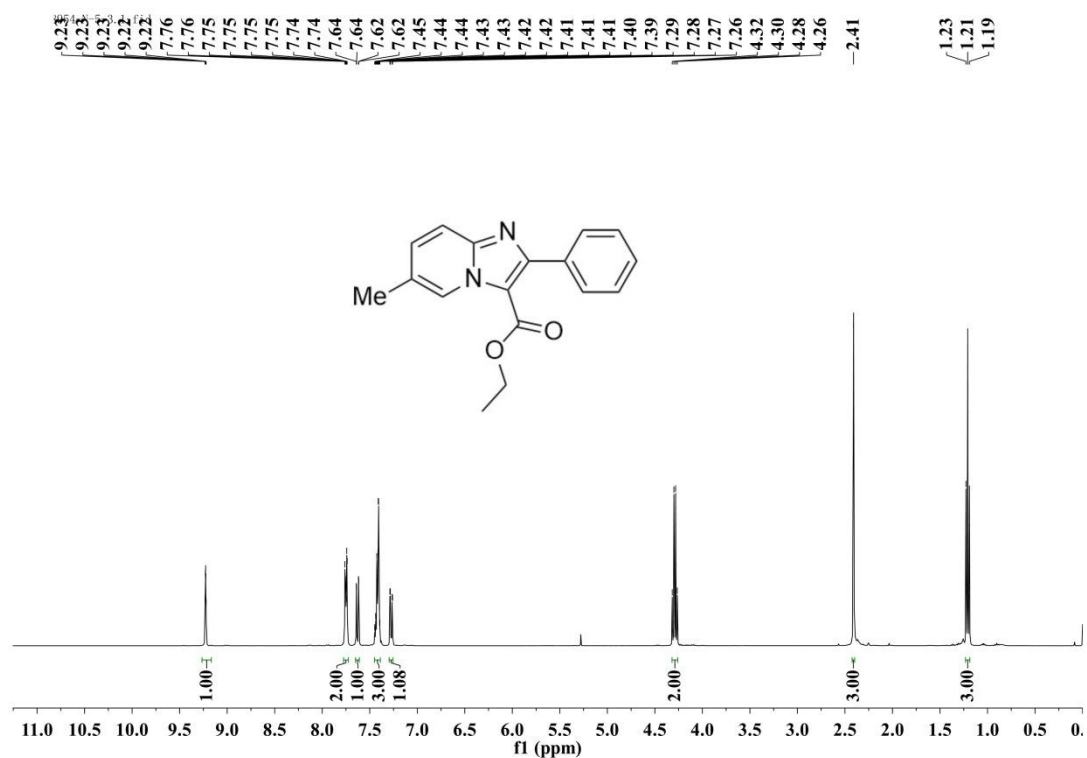


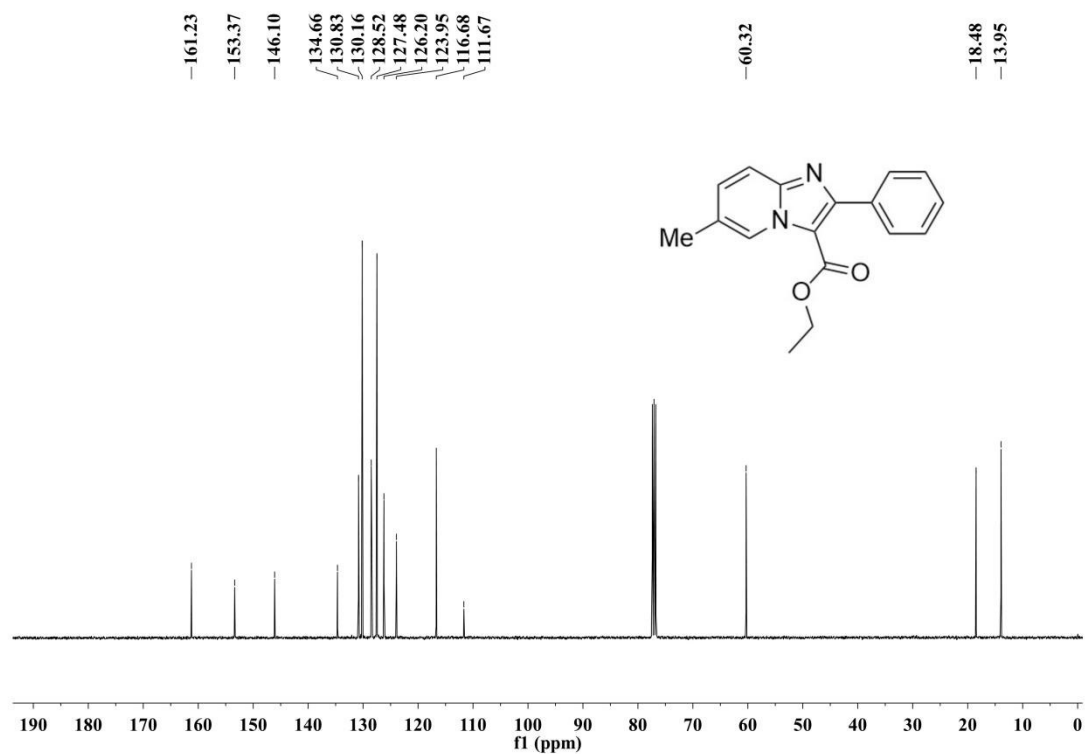
Ethyl 7-methyl-2-phenylimidazo[1,2-a]pyridine-3-carboxylate (3c)



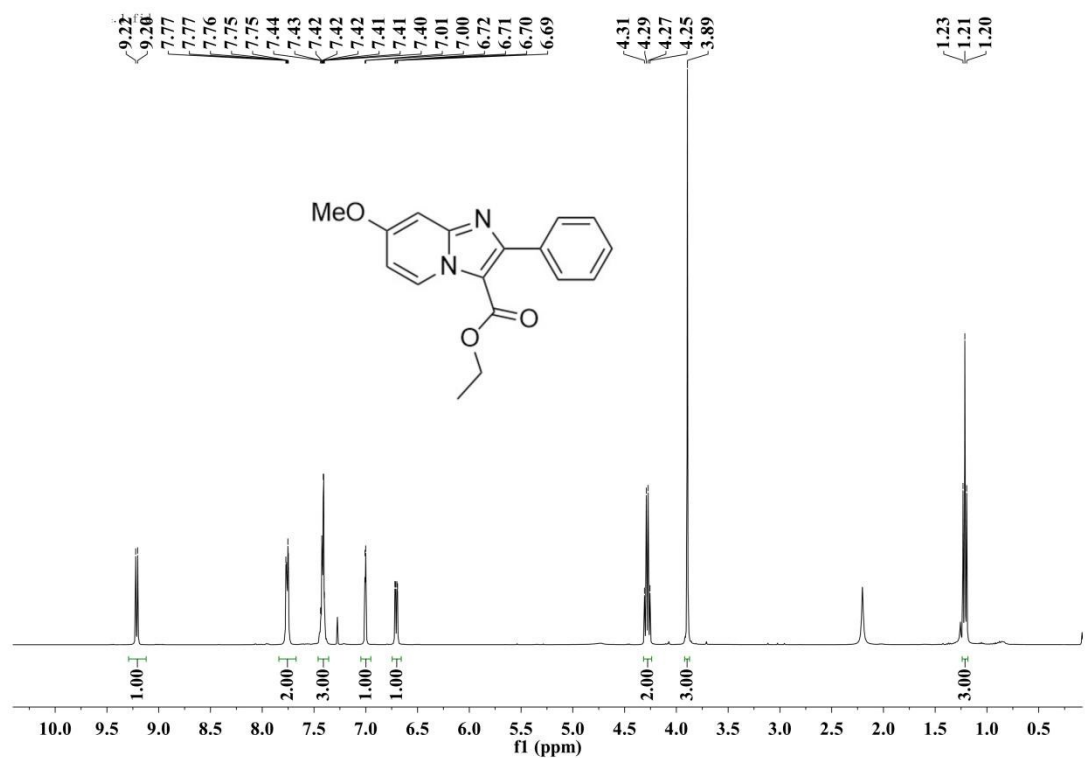


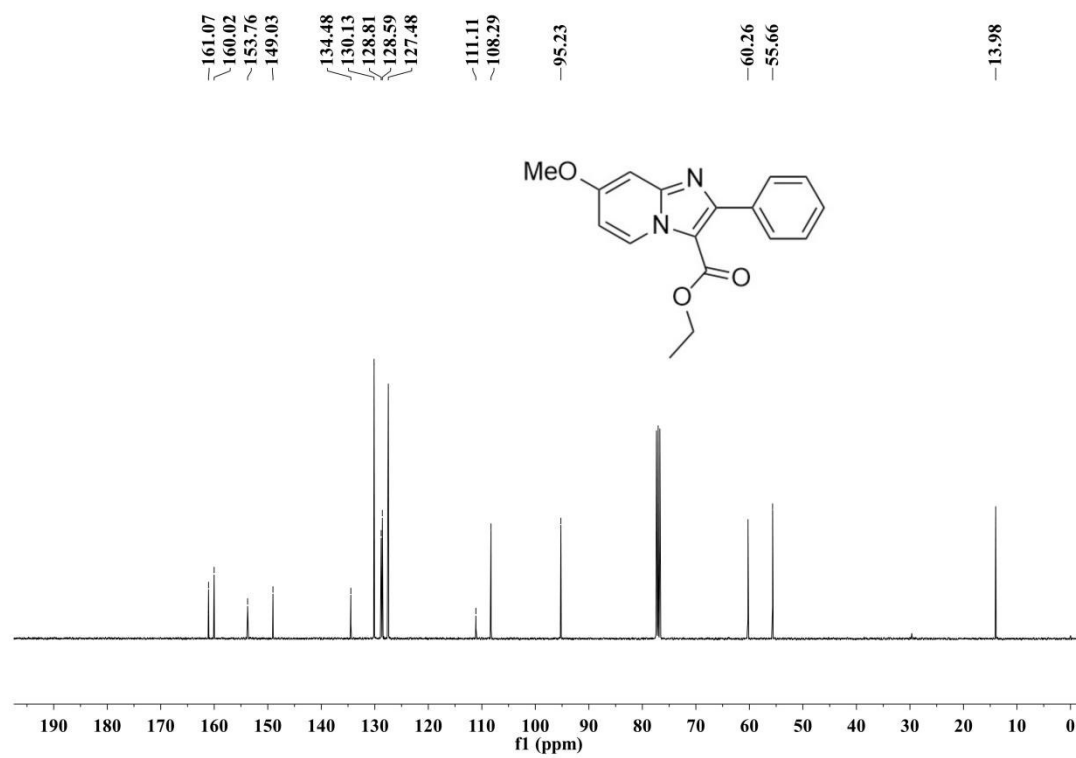
Ethyl 6-methyl-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3d)



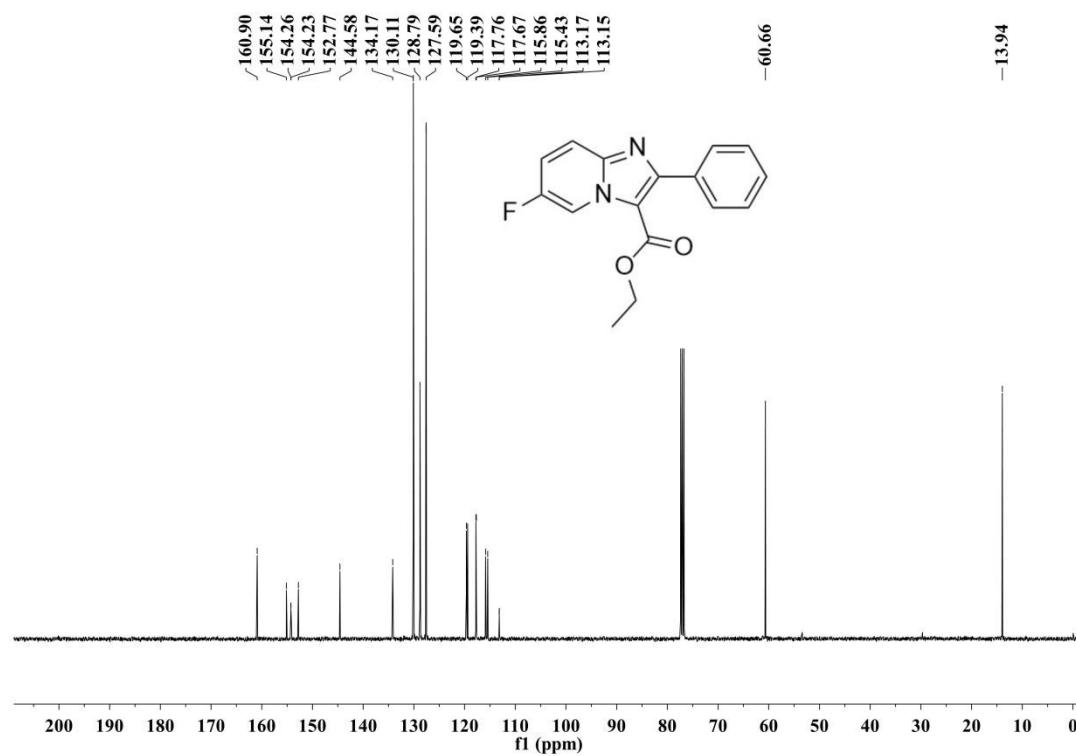
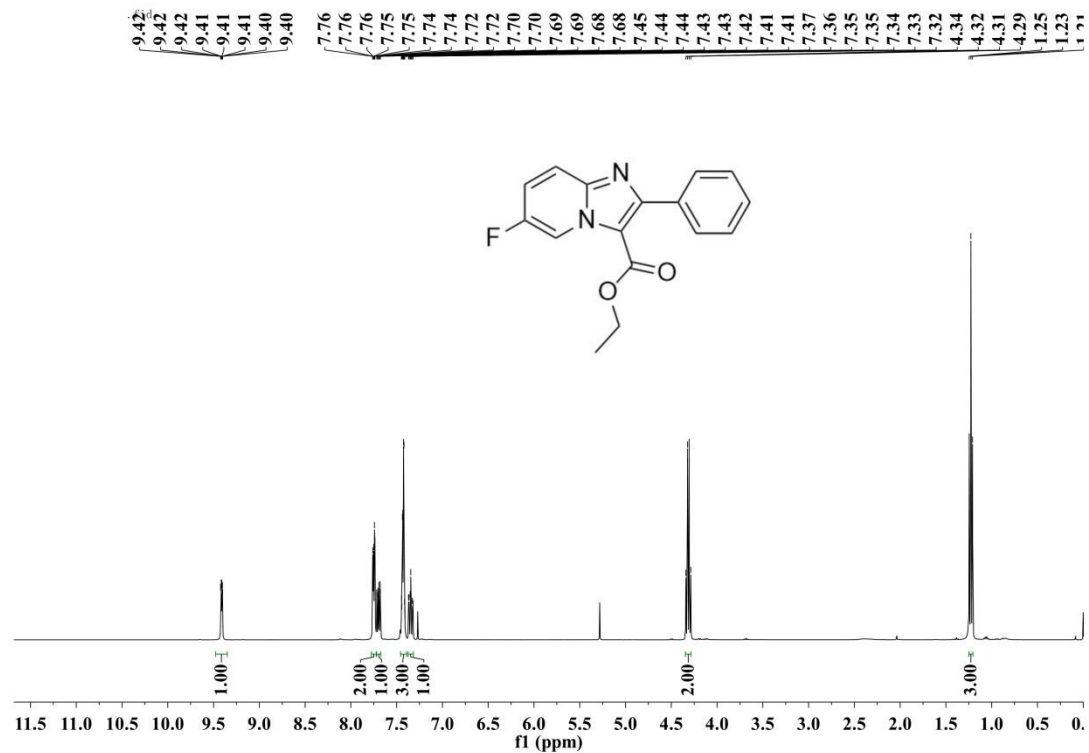


Ethyl 7-methoxy-2-phenylimidazo[1,2-a]pyridine-3-carboxylate (3e)

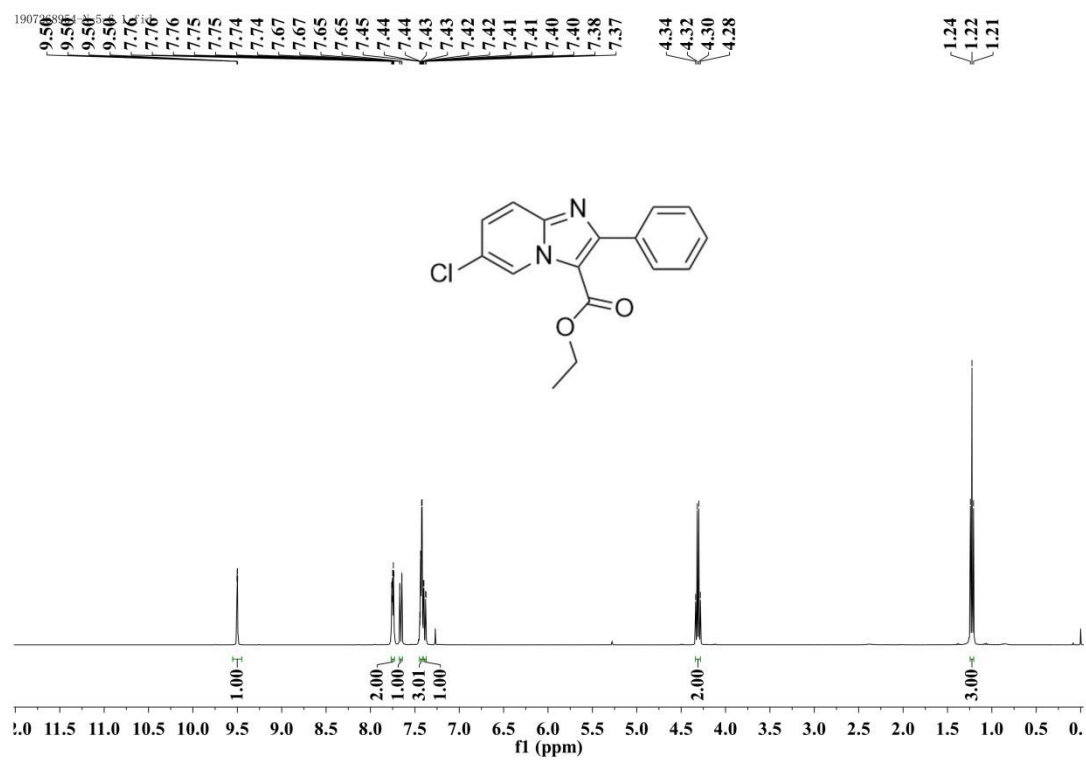


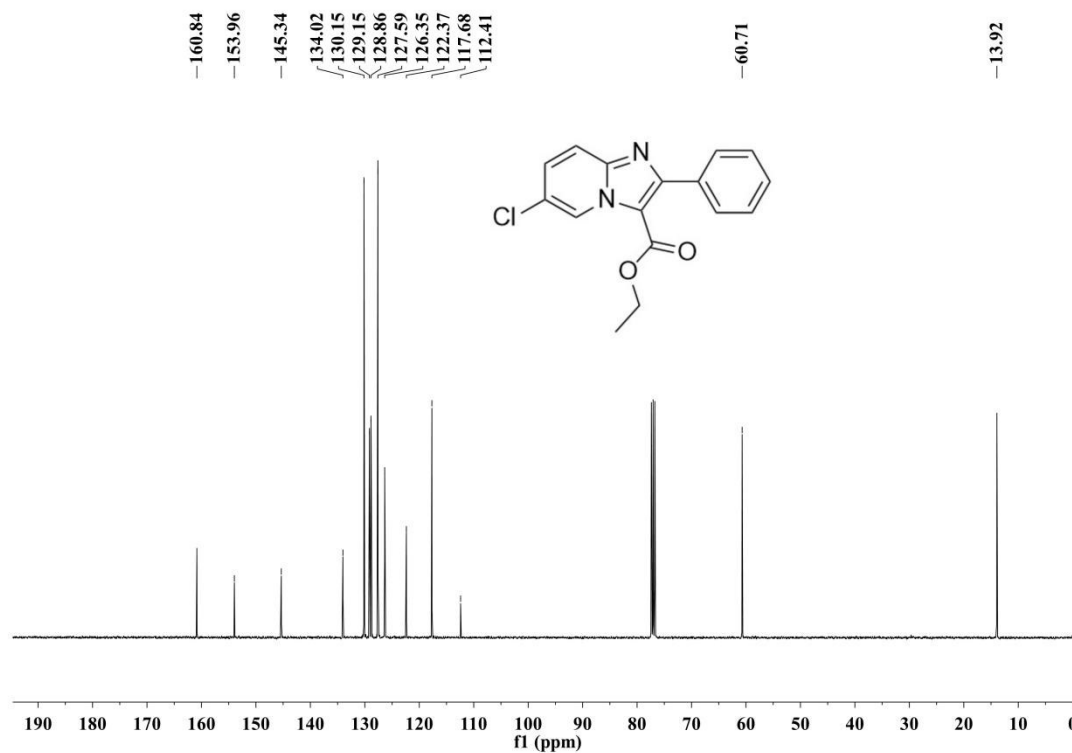


Ethyl 6-fluoro-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3f)

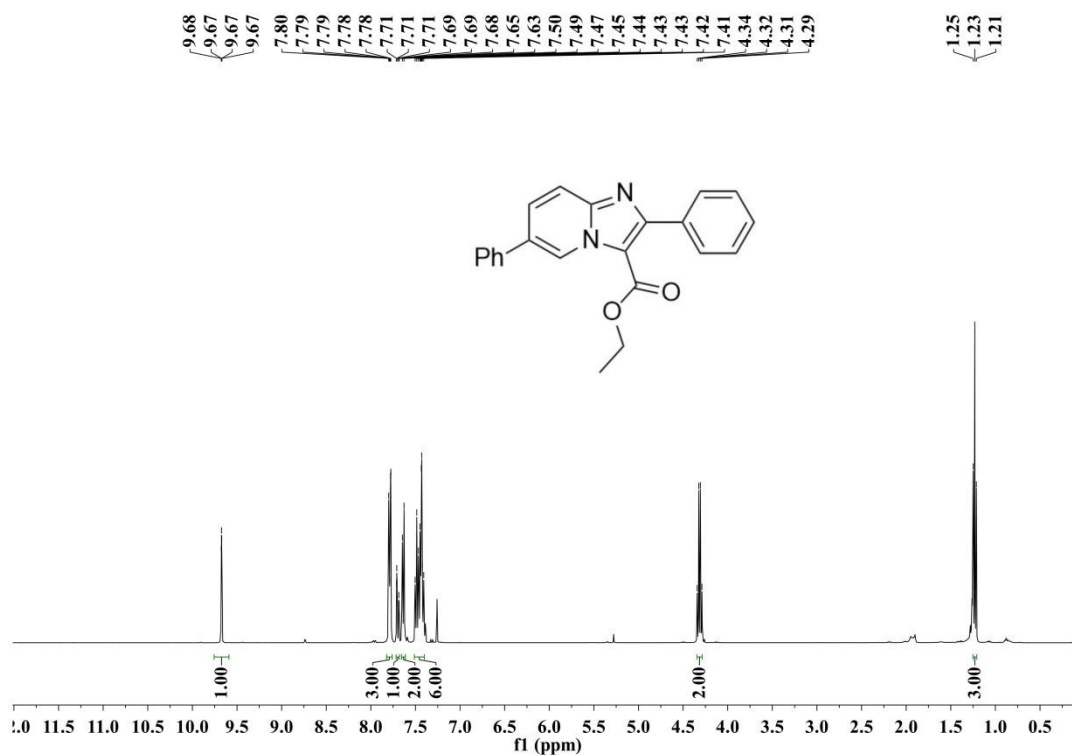


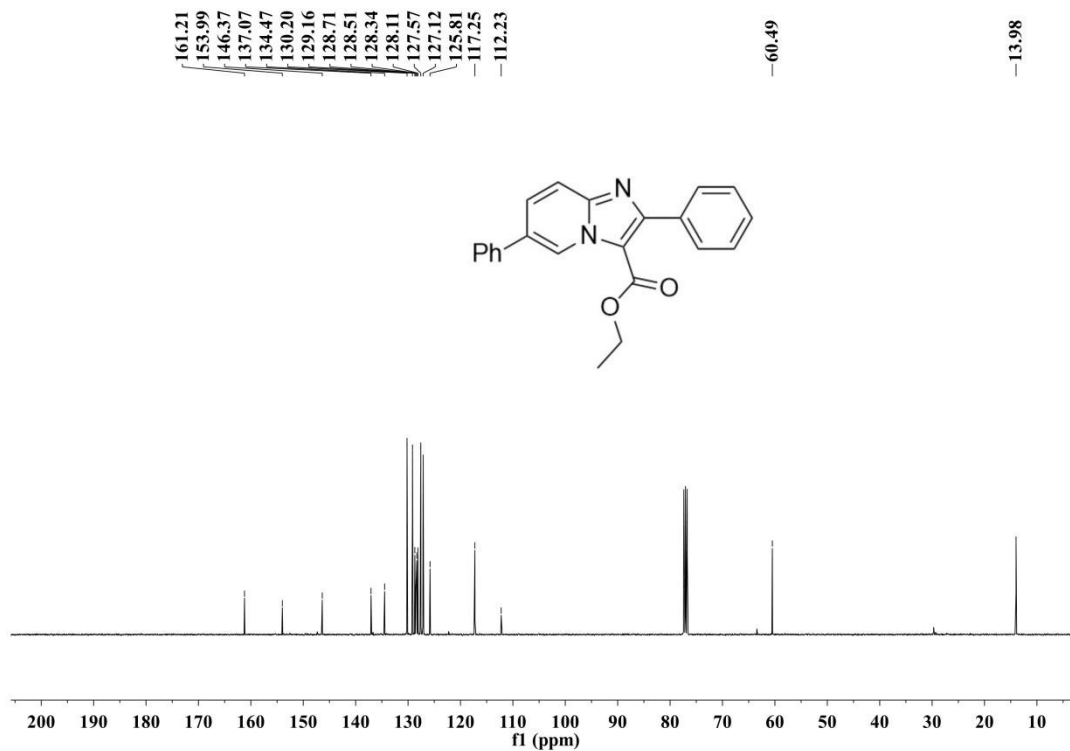
Ethyl 6-chloro-2-phenylimidazo[1,2-a]pyridine-3-carboxylate (3g)



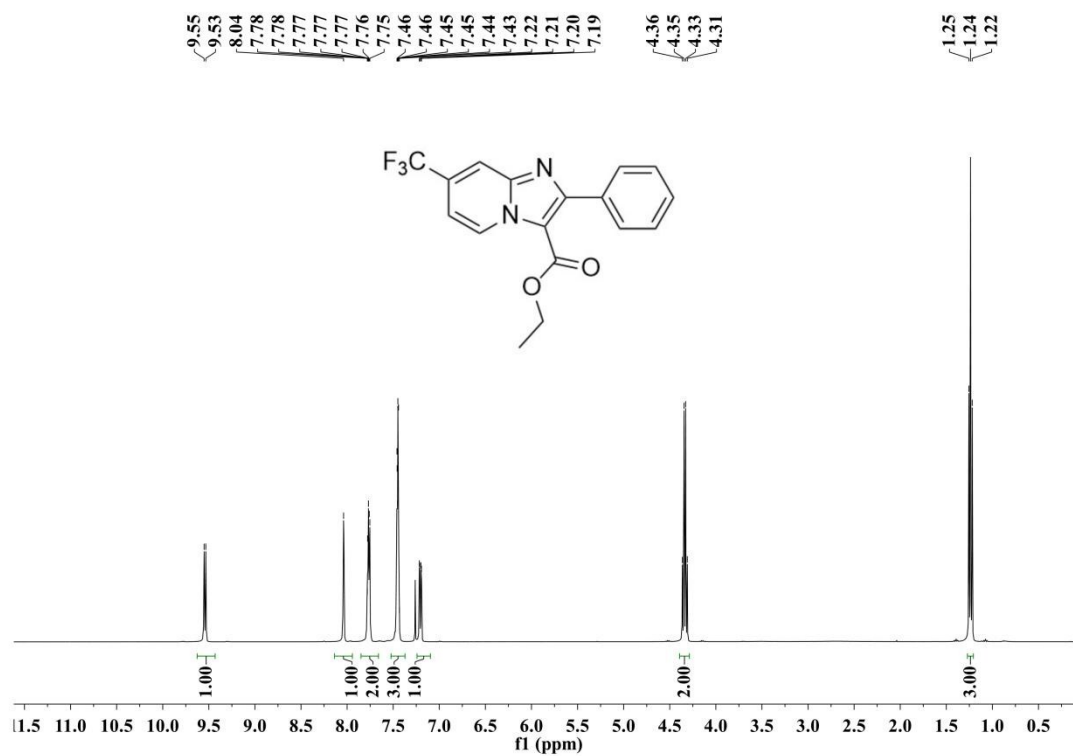


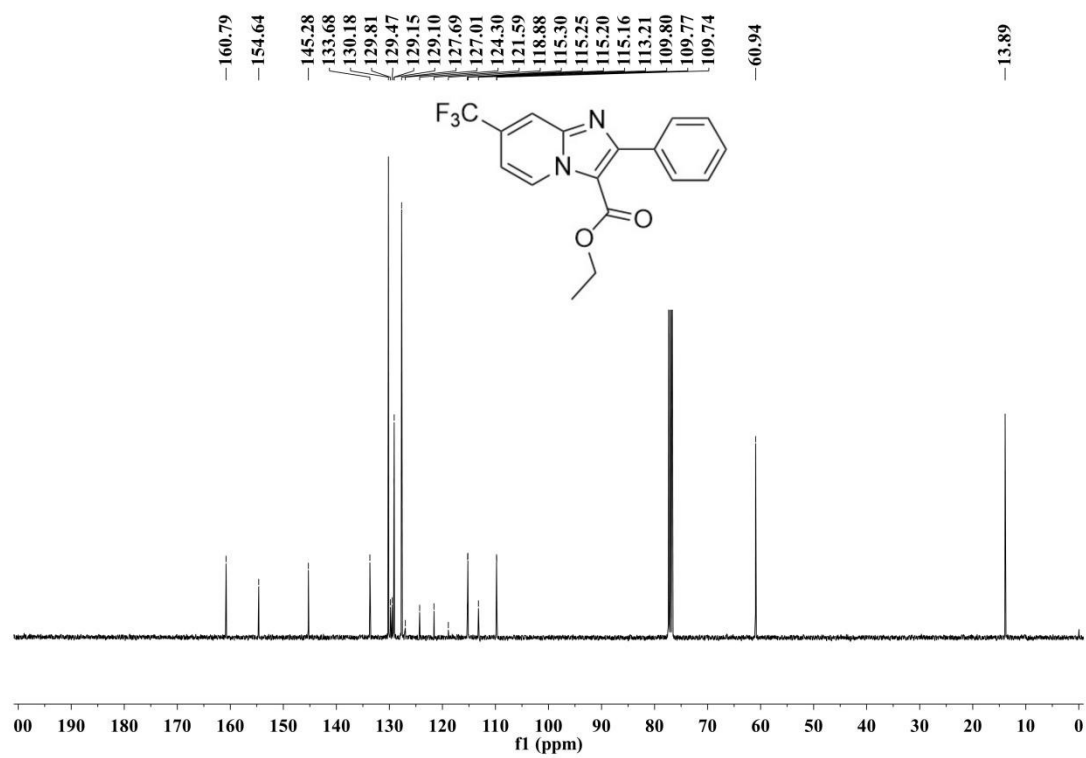
Ethyl 2,6-diphenylimidazo[1,2-*a*]pyridine-3-carboxylate (3h)



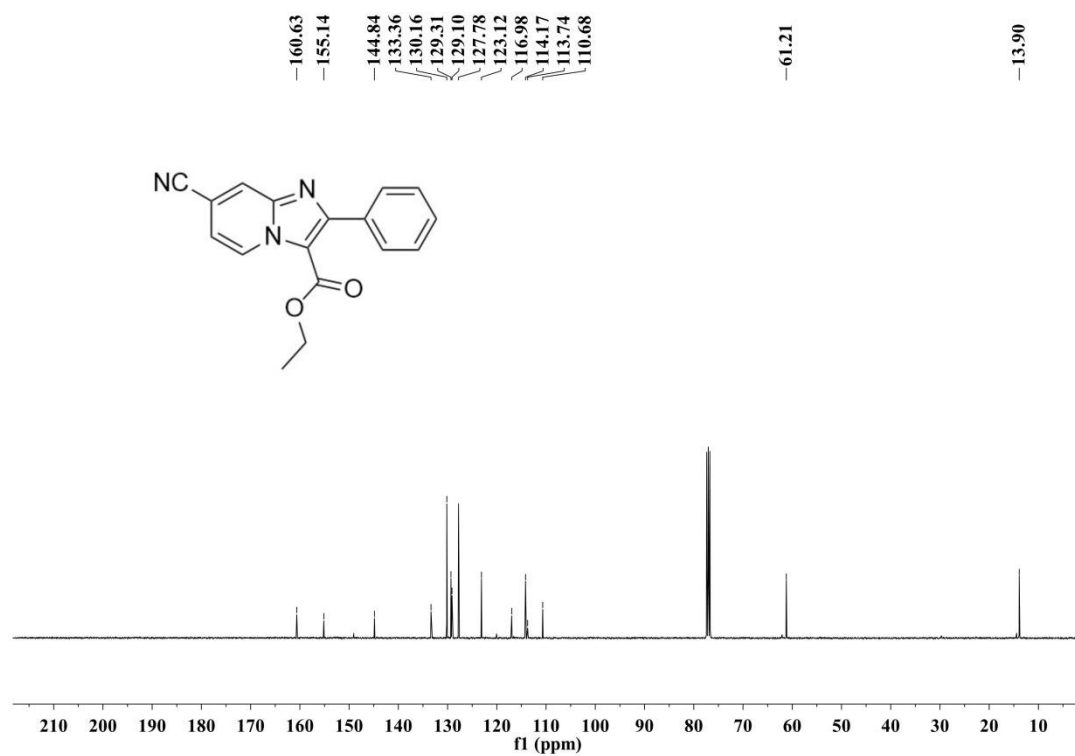
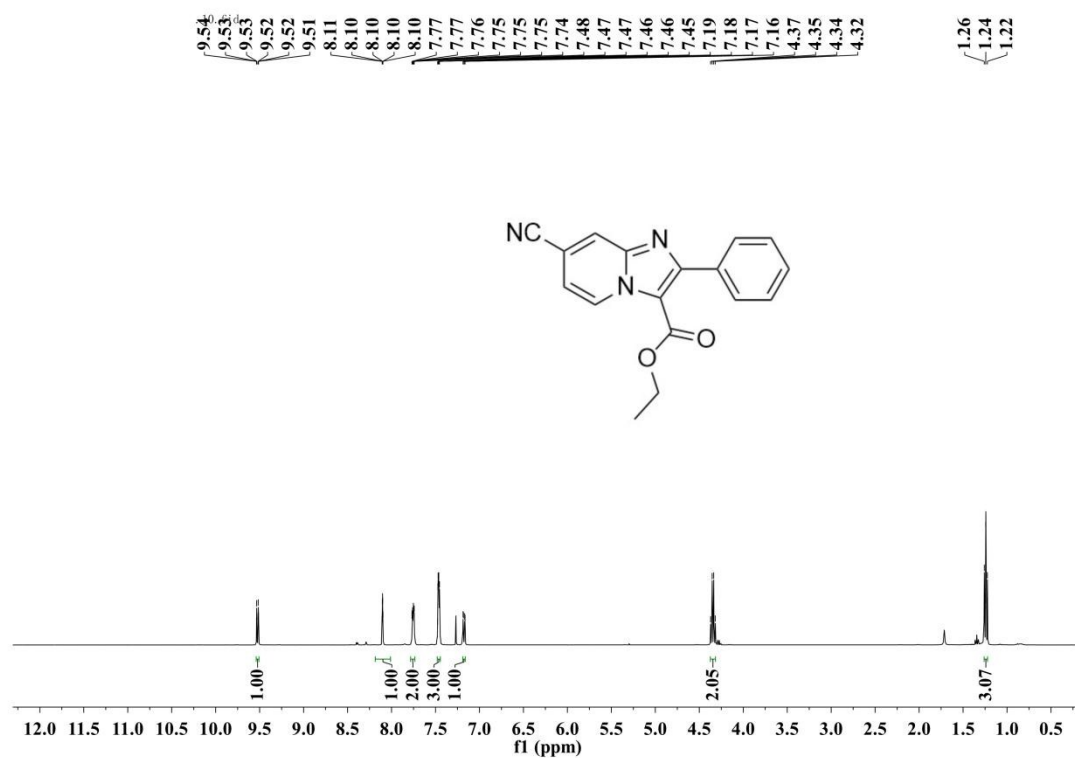


Ethyl 2-phenyl-7-(trifluoromethyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3i)

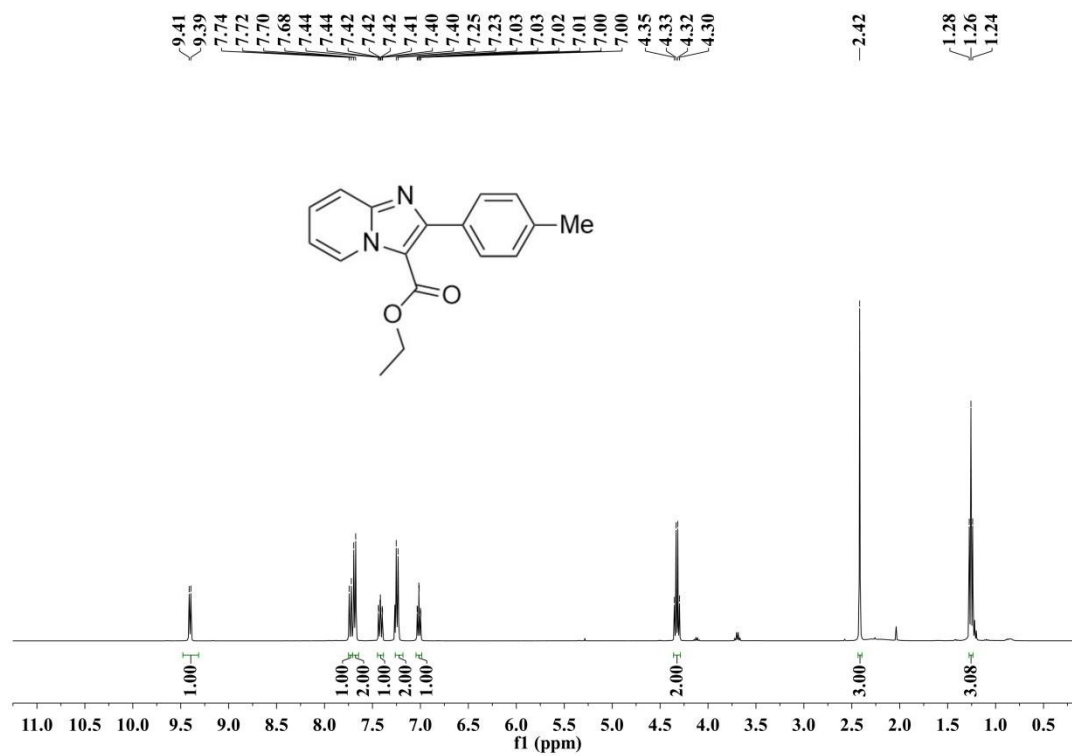


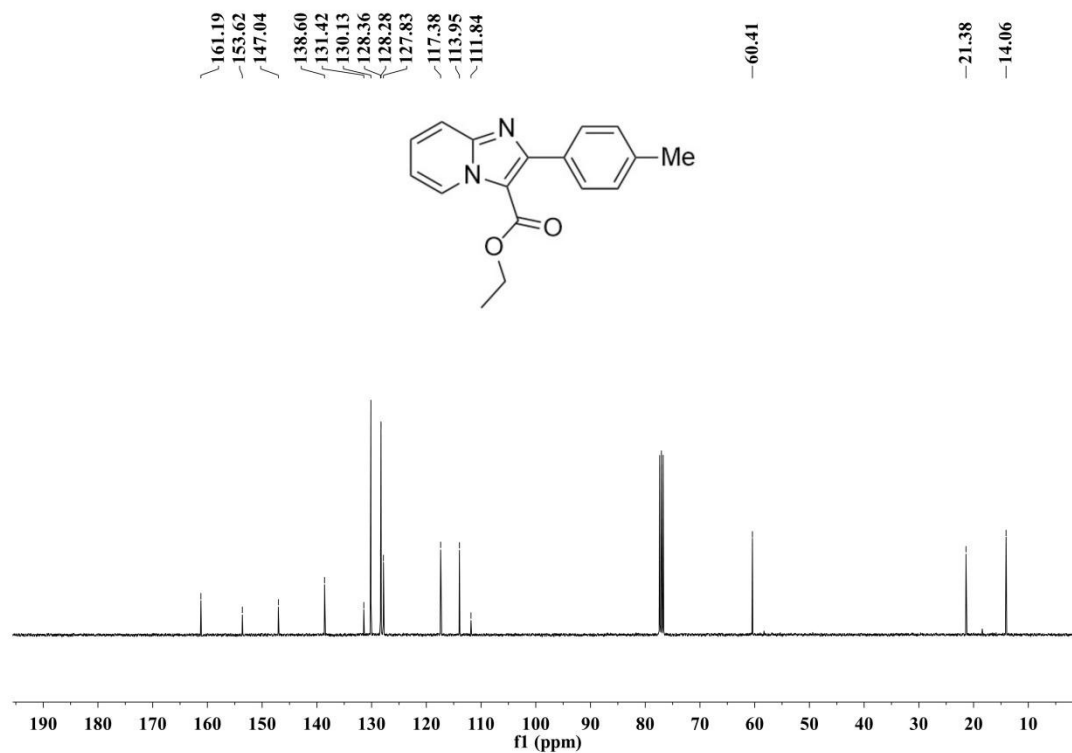


Ethyl 7-cyano-2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (3j)

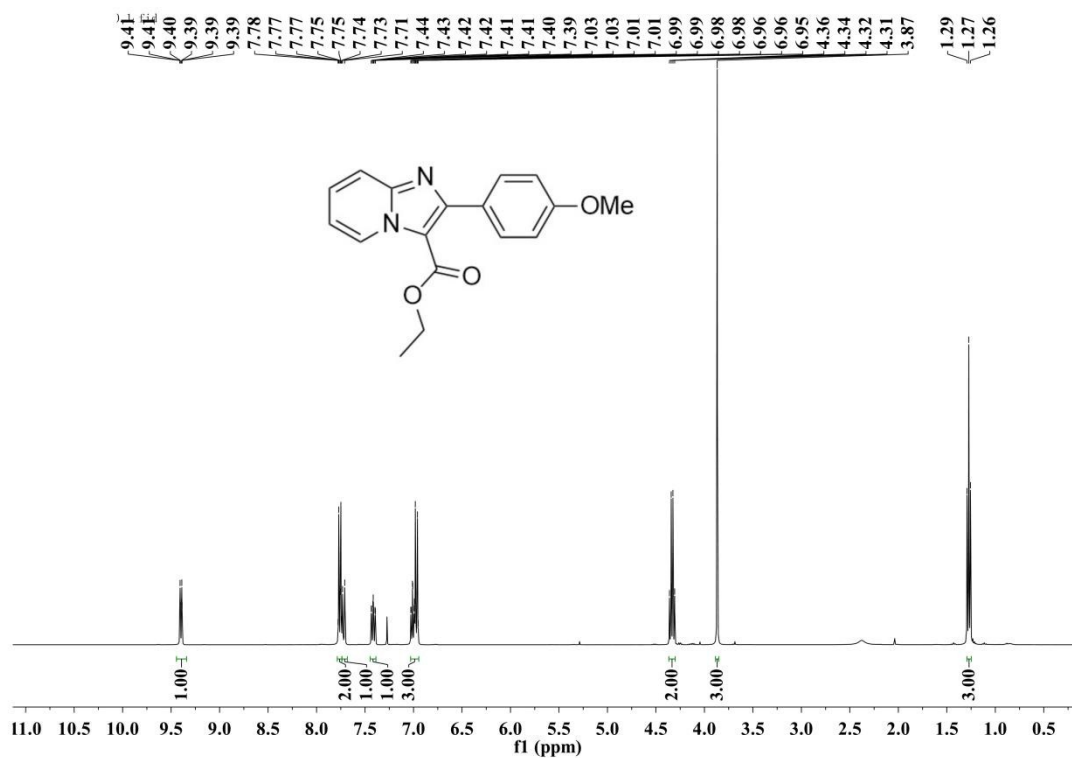


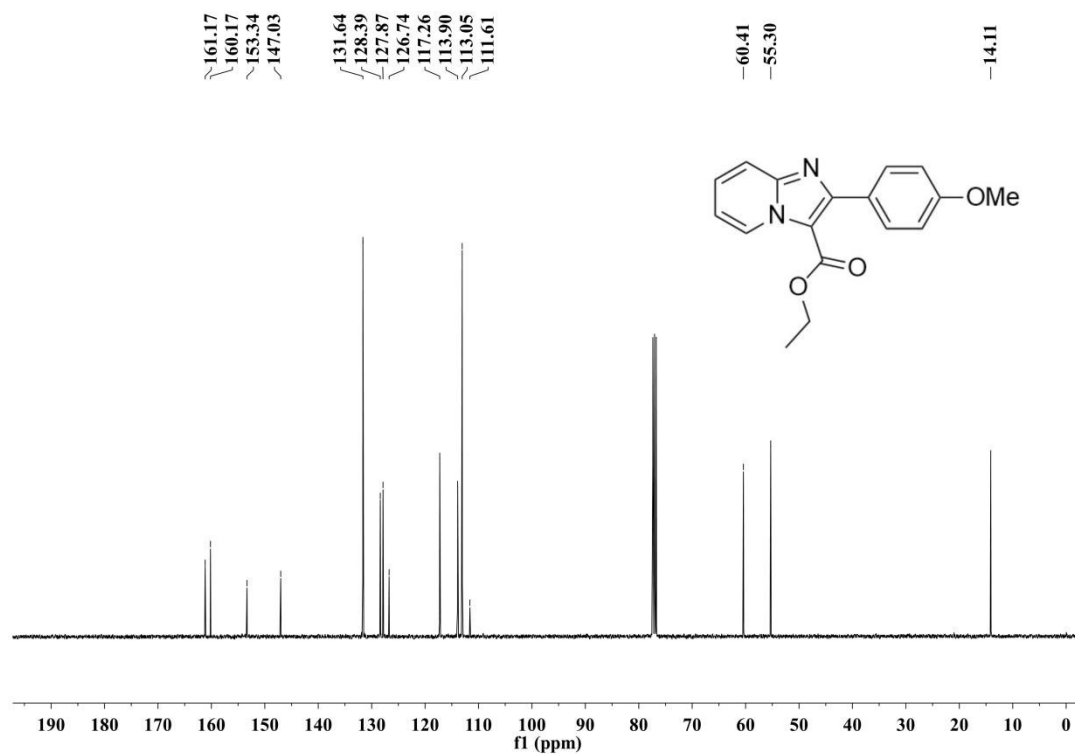
Ethyl 2-(*p*-tolyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3k)



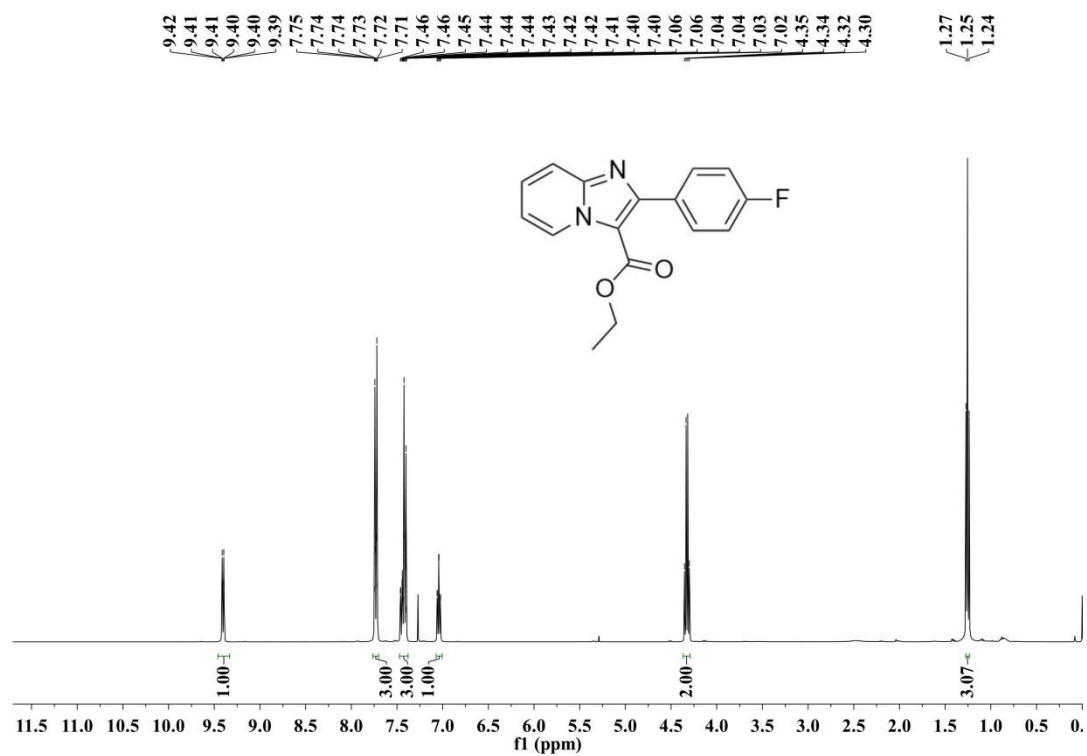


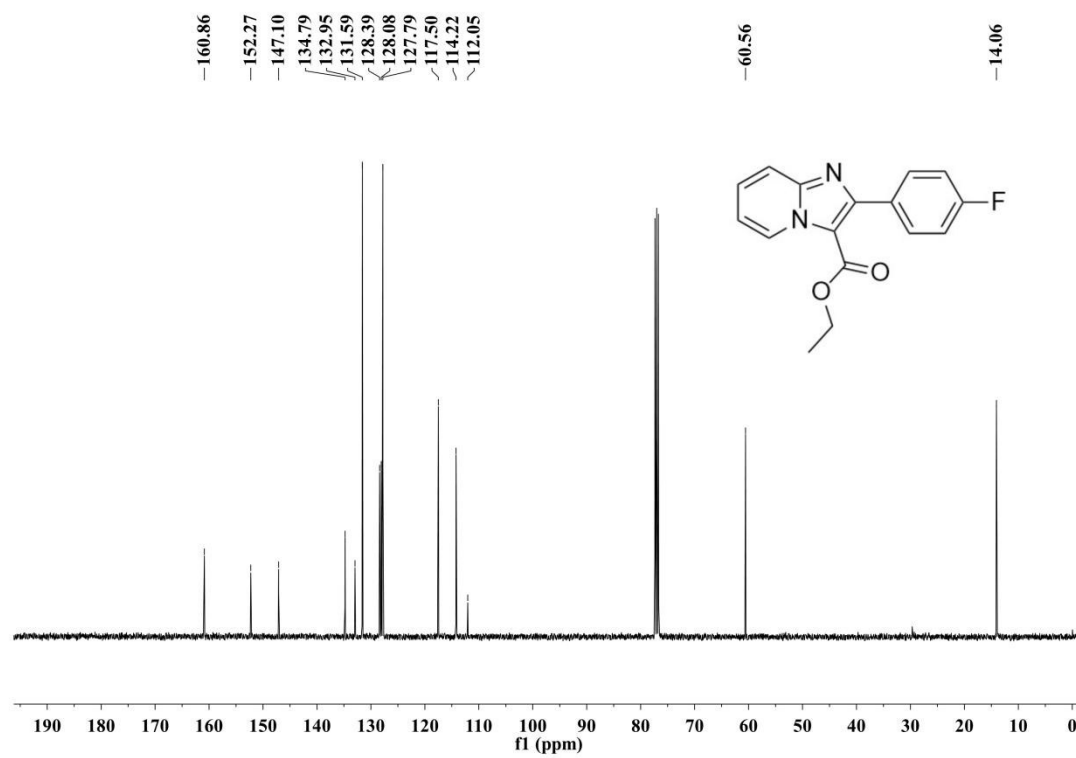
Ethyl 2-(4-methoxyphenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (31)



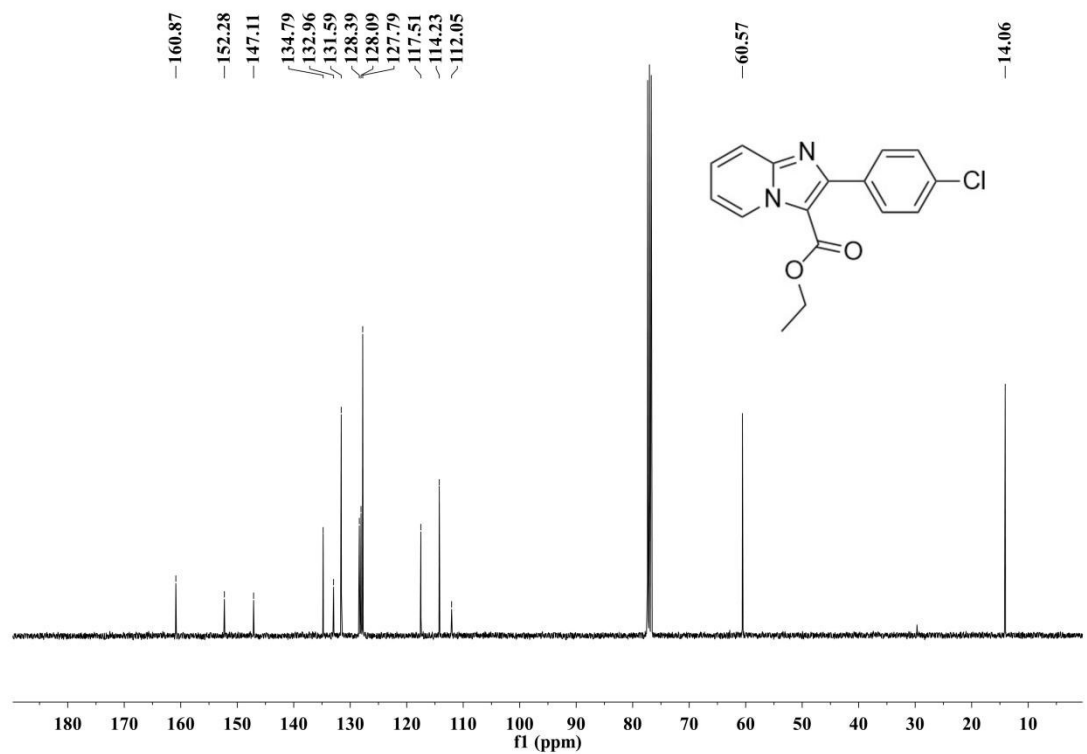
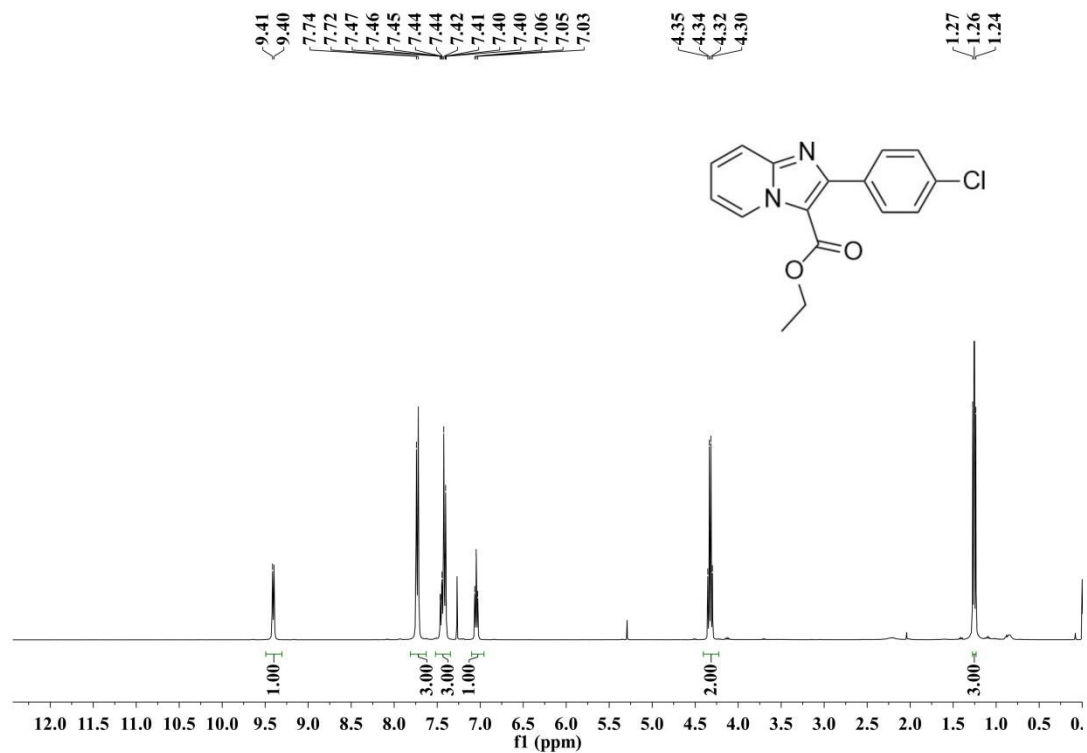


Ethyl 2-(4-fluorophenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3m)

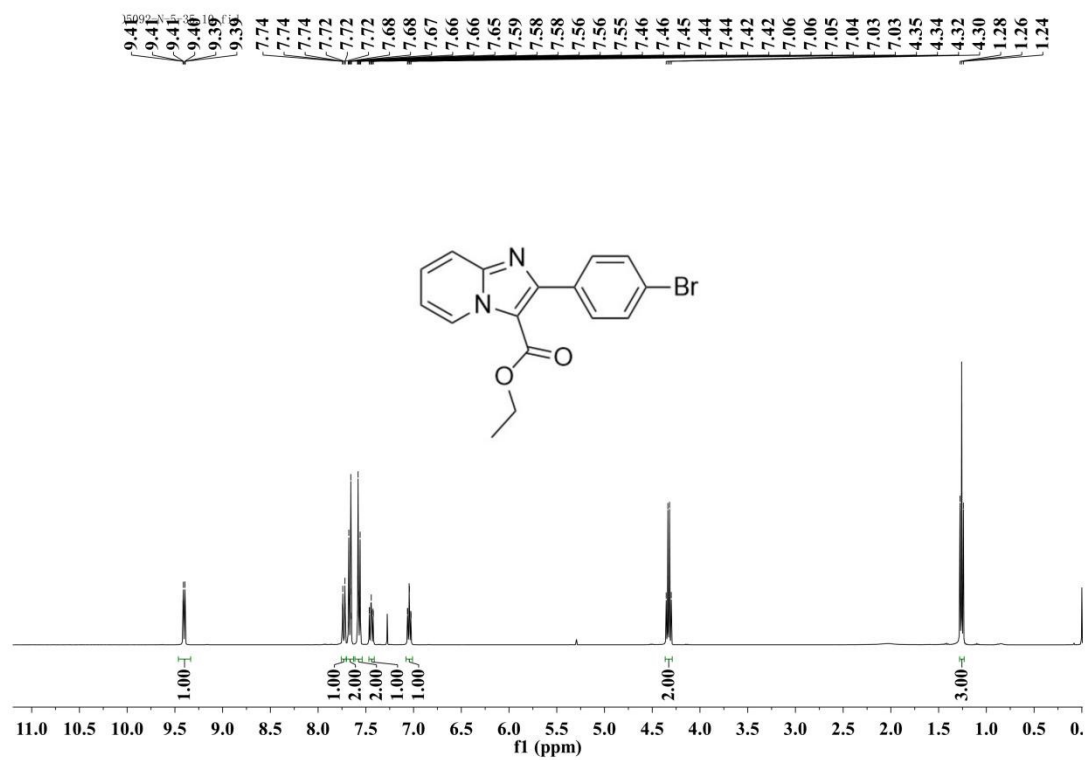


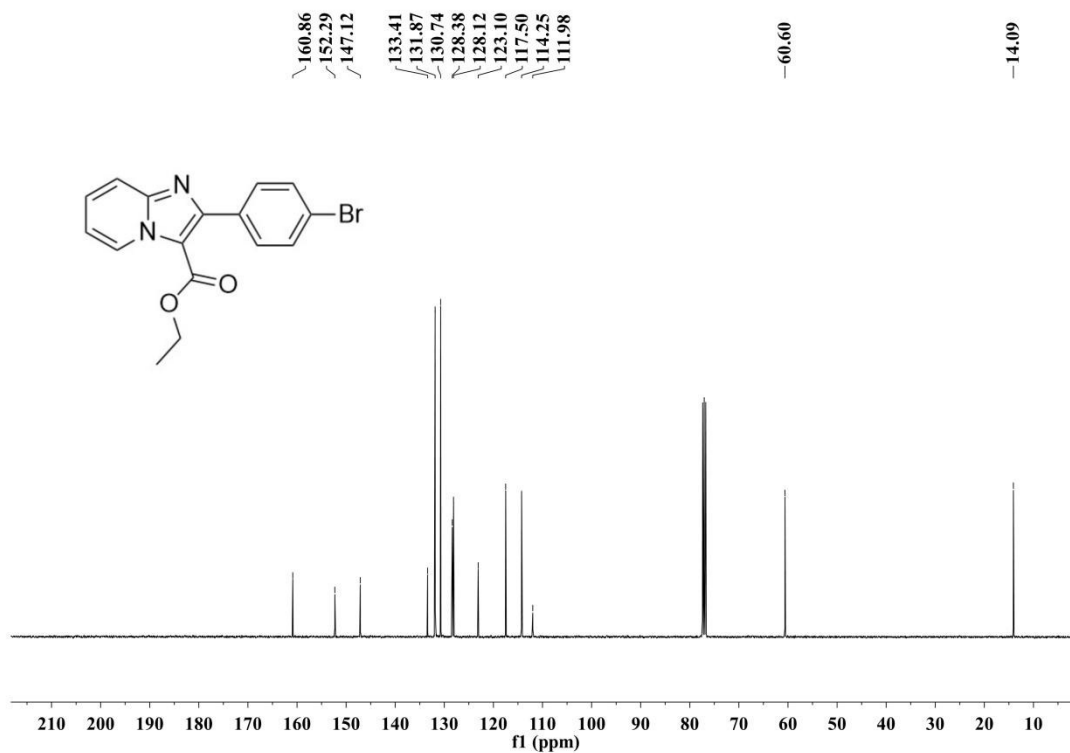


Ethyl 2-(4-chlorophenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3n)

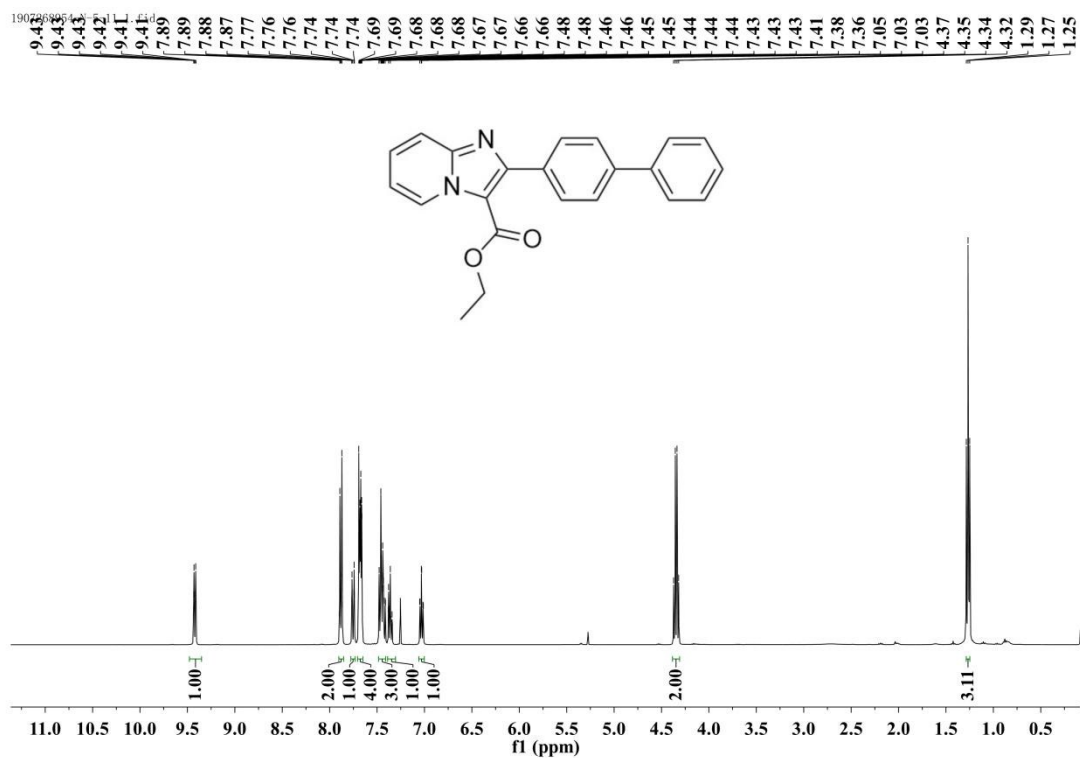


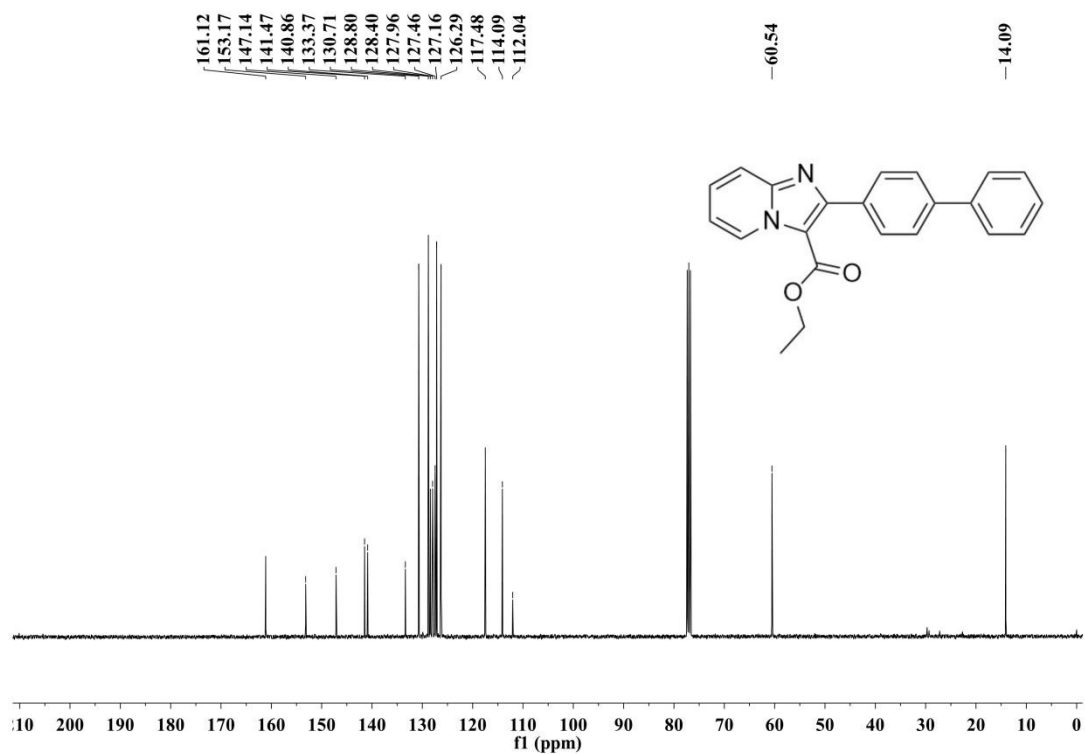
Ethyl 2-(4-bromophenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3o)



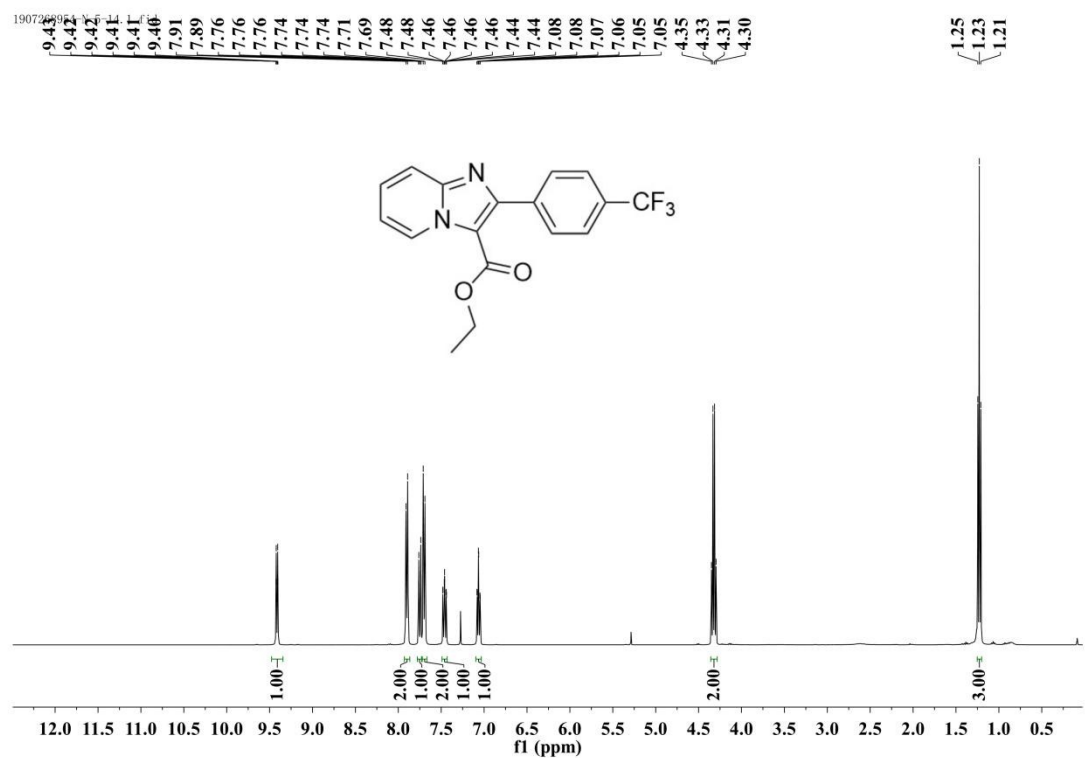


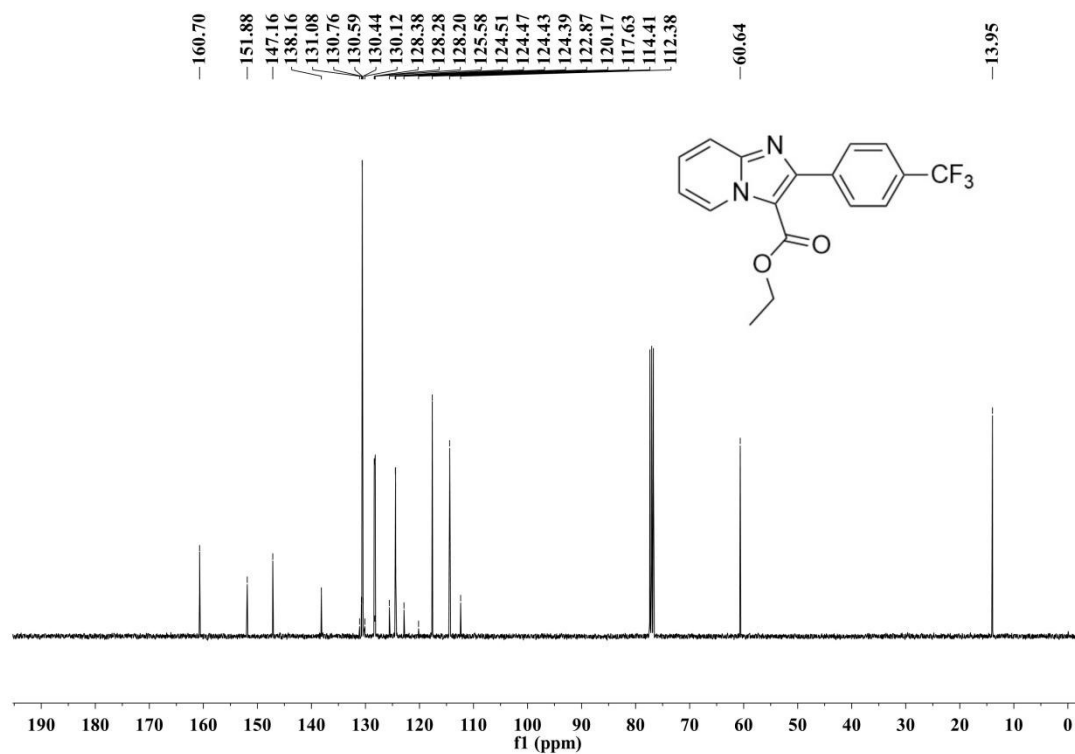
Ethyl 2-([1,1'-biphenyl]-4-yl)imidazo[1,2-a]pyridine-3-carboxylate (3p)



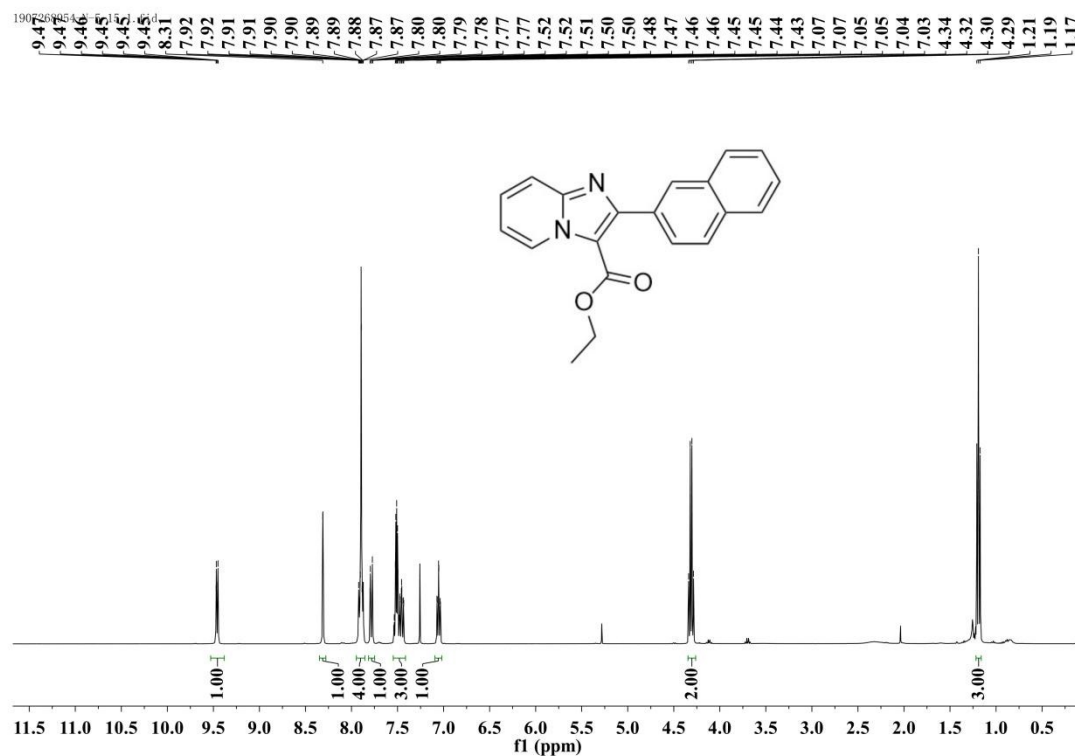


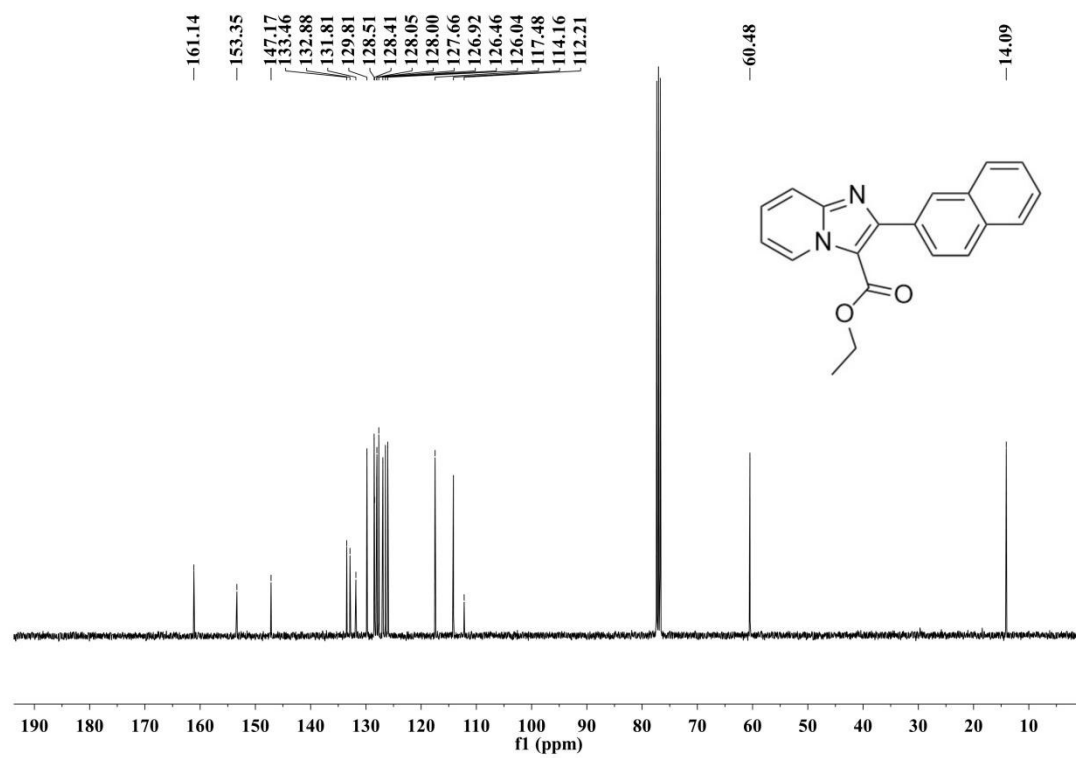
Ethyl 2-(4-(trifluoromethyl)phenyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3q)



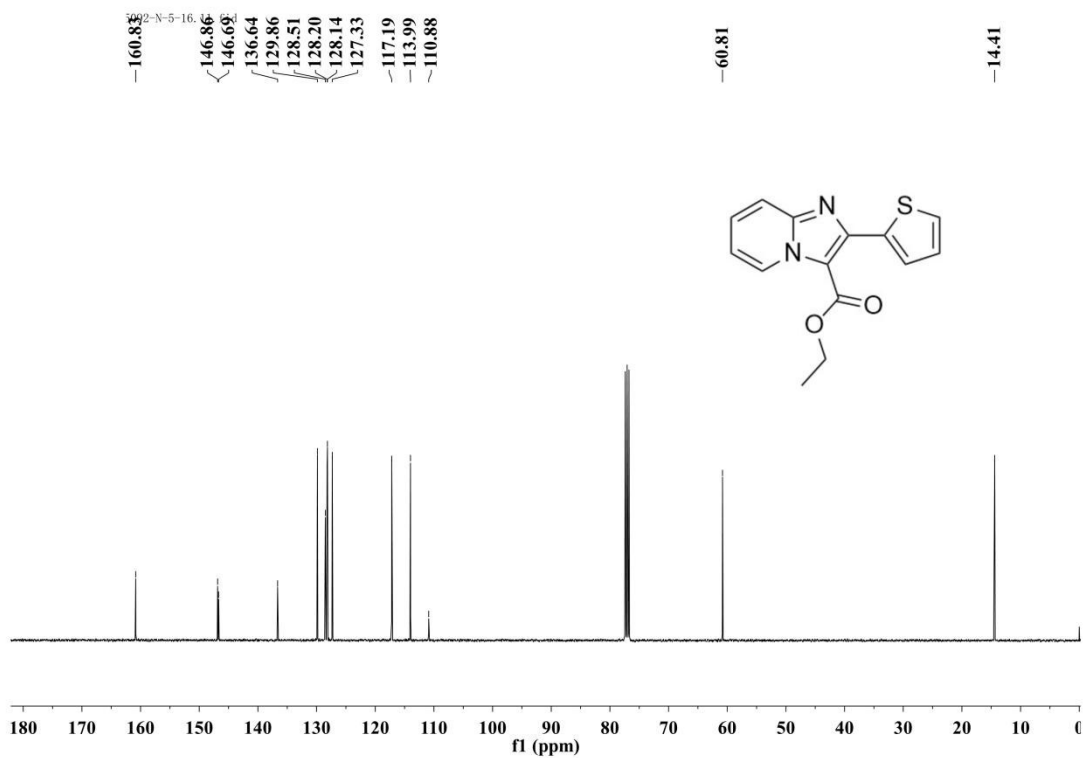
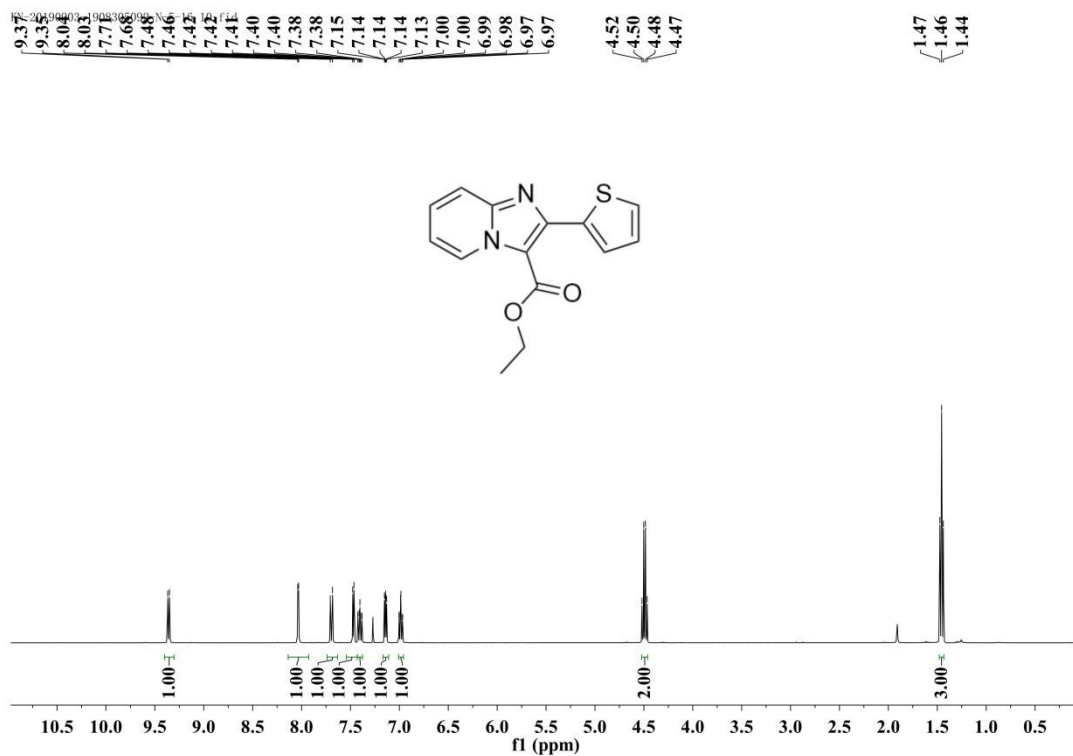


Ethyl 2-(naphthalen-2-yl)imidazo[1,2-*a*]pyridine-3-carboxylate (3r)

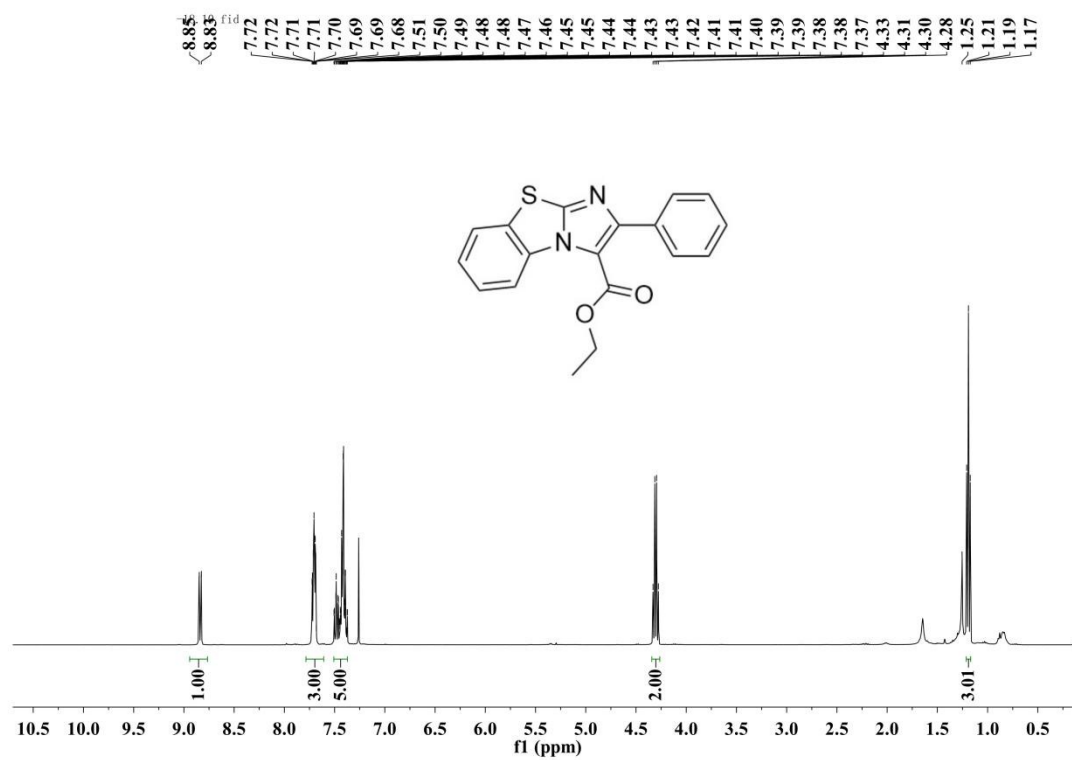


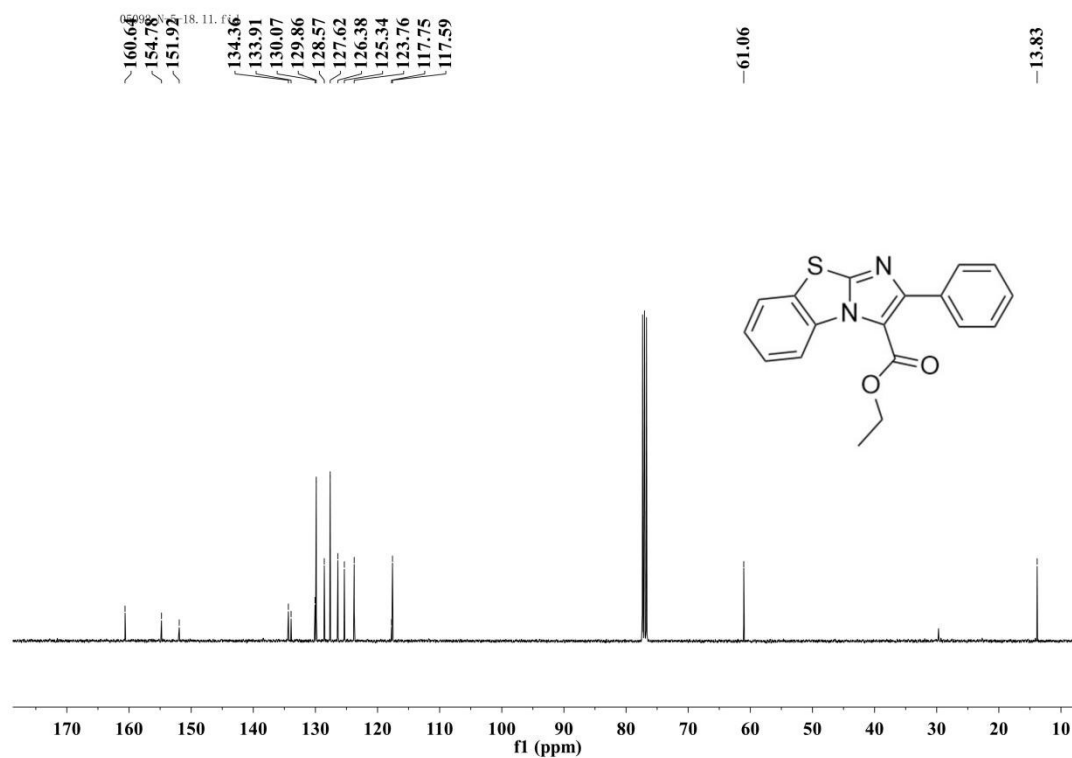


Ethyl 2-(thiophen-2-yl)imidazo[1,2-*a*]pyridine-3-carboxylate (3s)

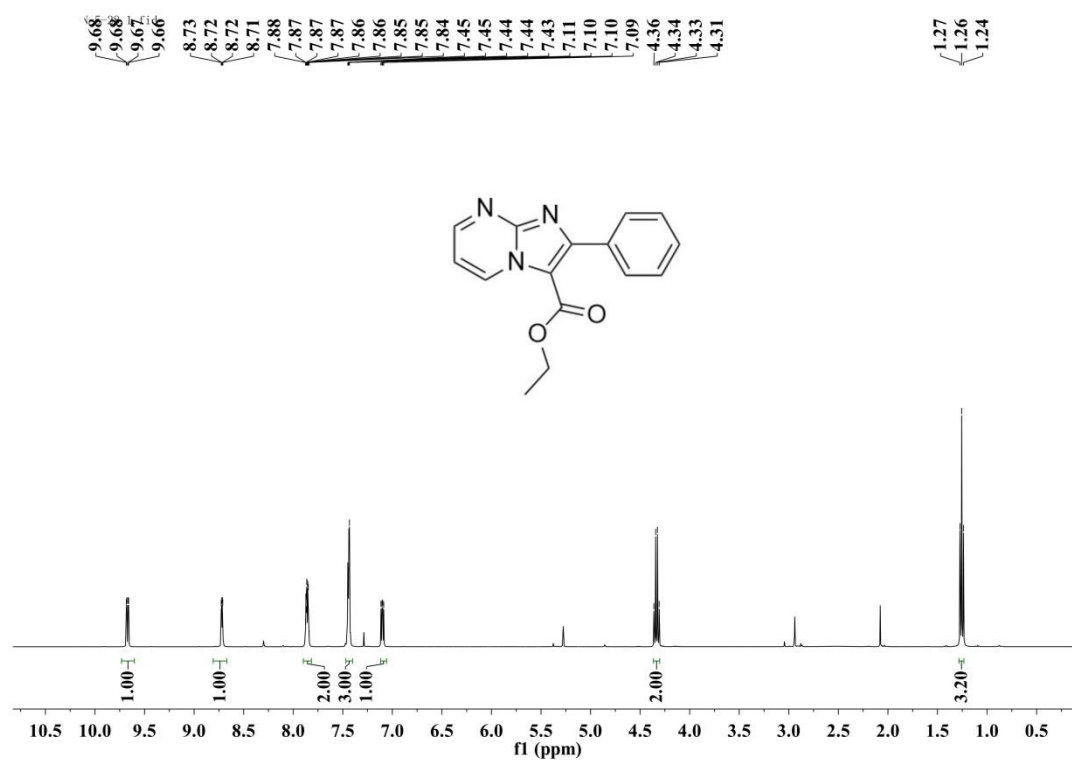


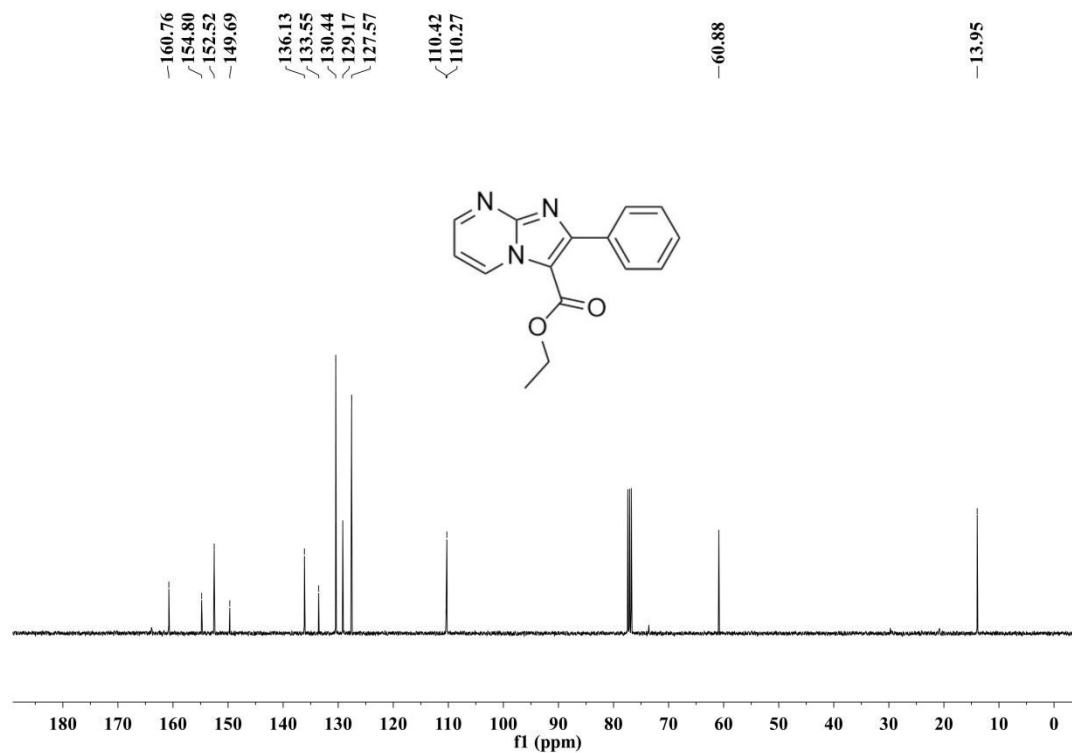
Ethyl 2-phenylbenzo[d]imidazo[2,1-b]thiazole-3-carboxylate (3t)



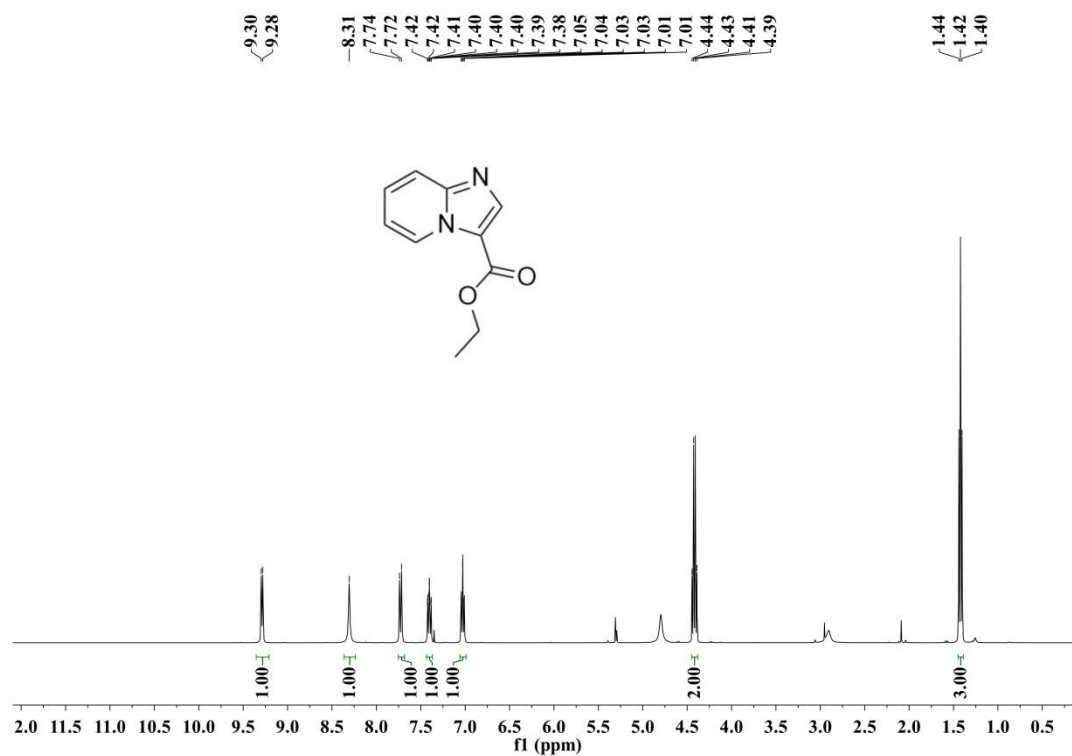


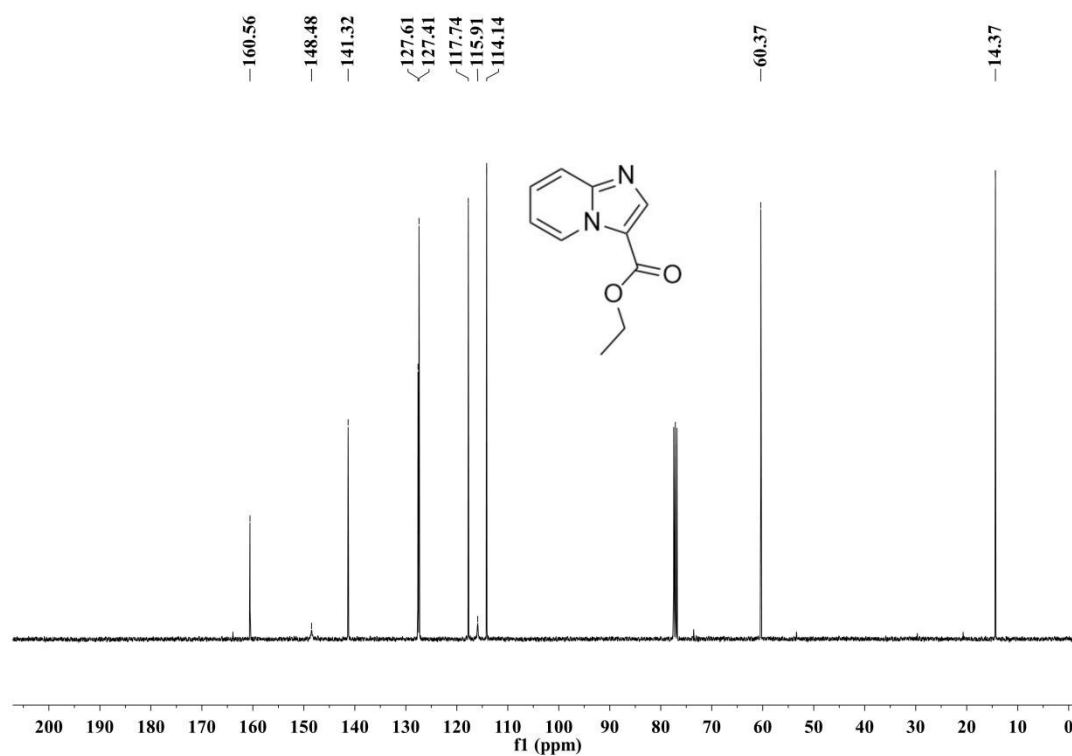
Ethyl 2-phenylimidazo[1,2-*a*]pyrimidine-3-carboxylate (3u)



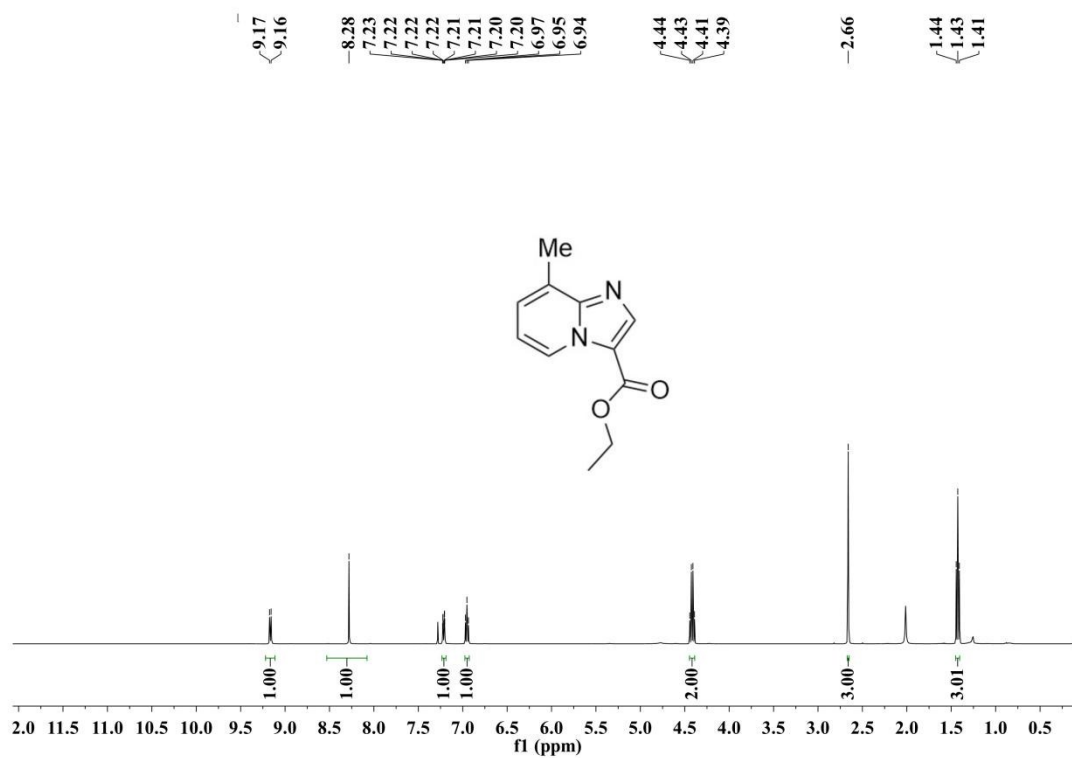


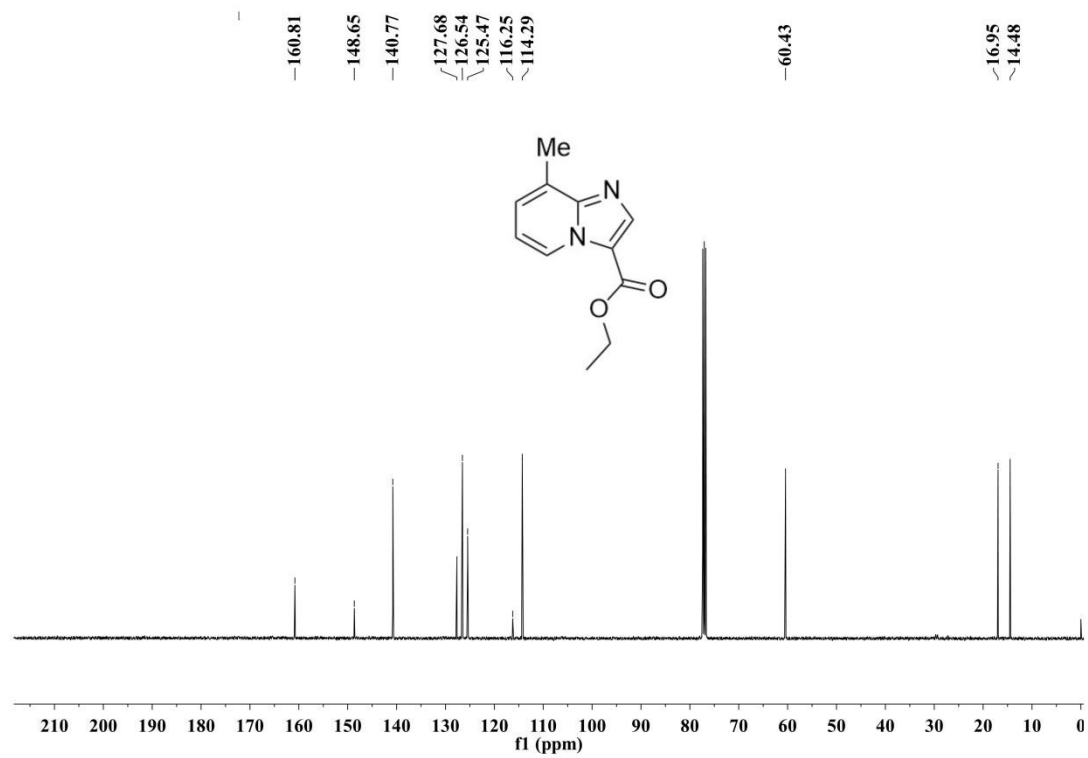
Ethyl imidazo[1,2-*a*]pyridine-3-carboxylate (3v)



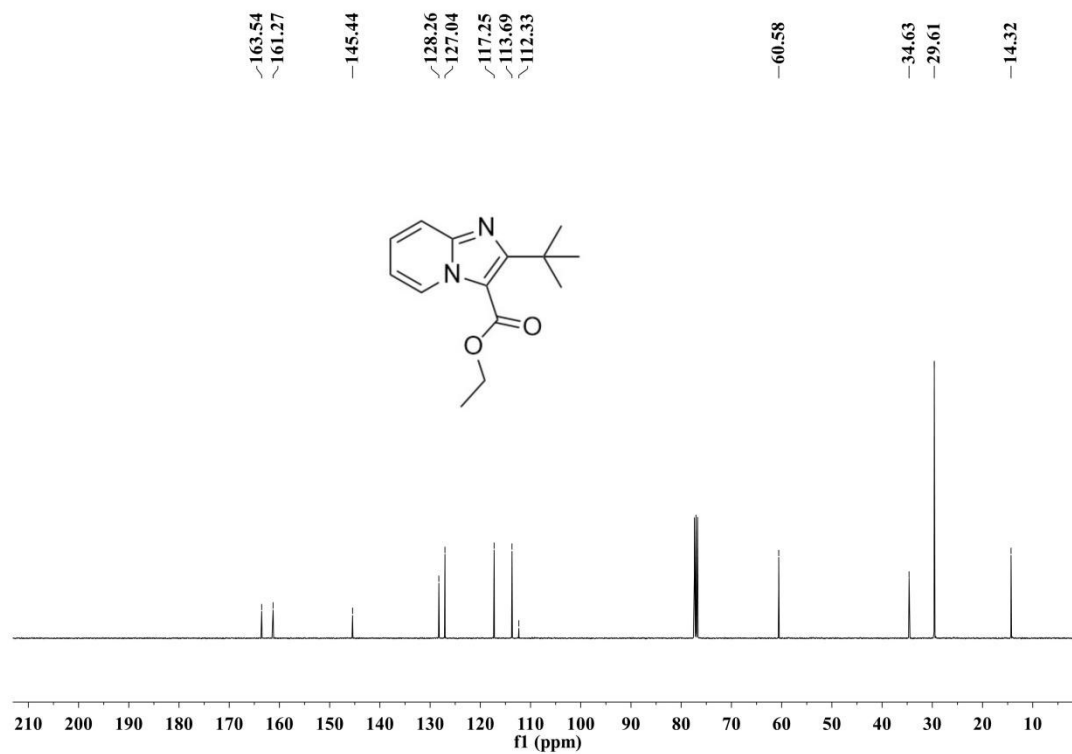
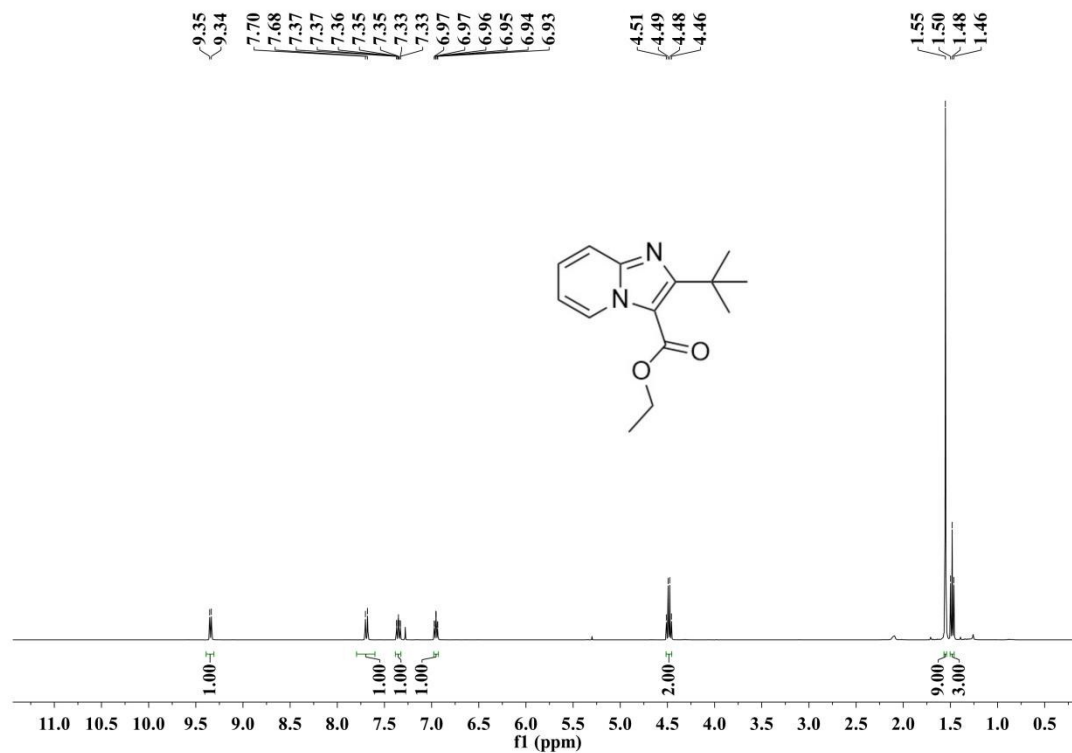


Ethyl 8-methylimidazo[1,2-*a*]pyridine-3-carboxylate (3w)

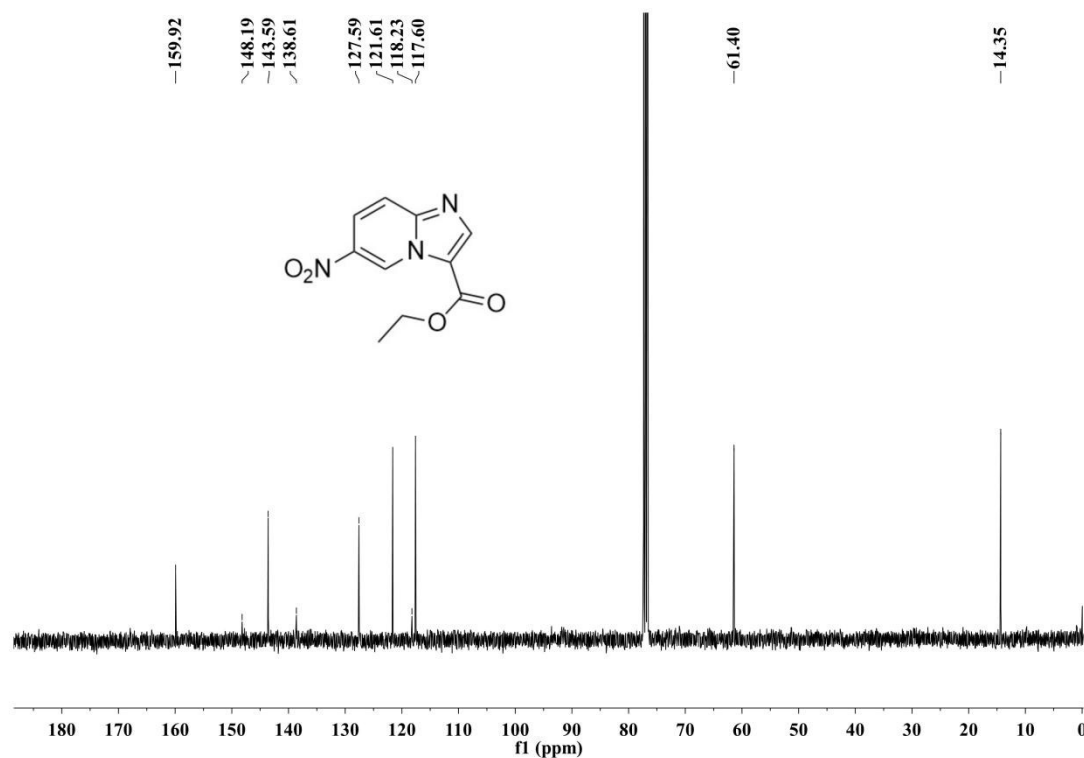
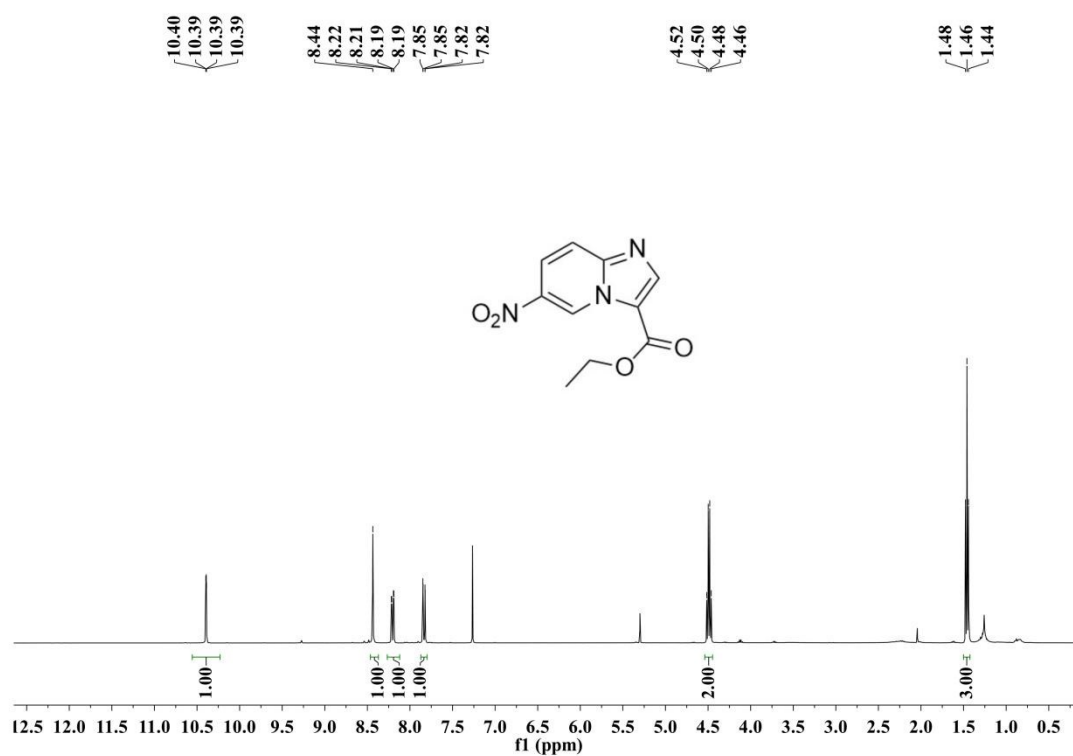




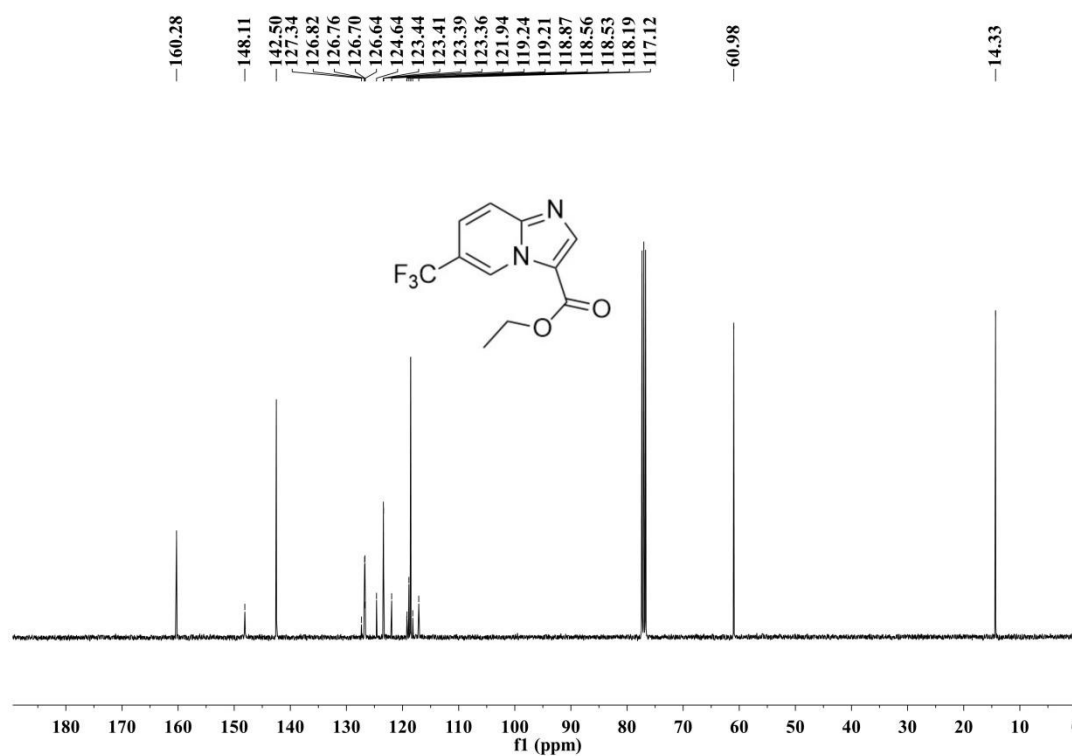
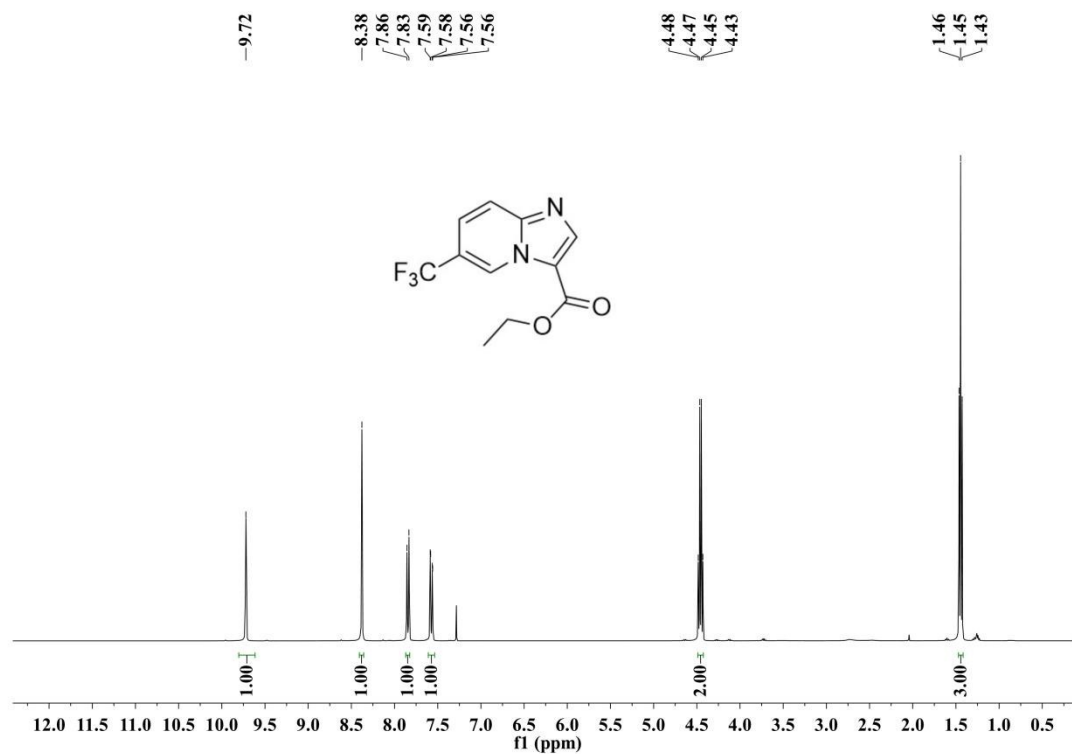
Ethyl 2-(*tert*-butyl)imidazo[1,2-*a*]pyridine-3-carboxylate (3x)



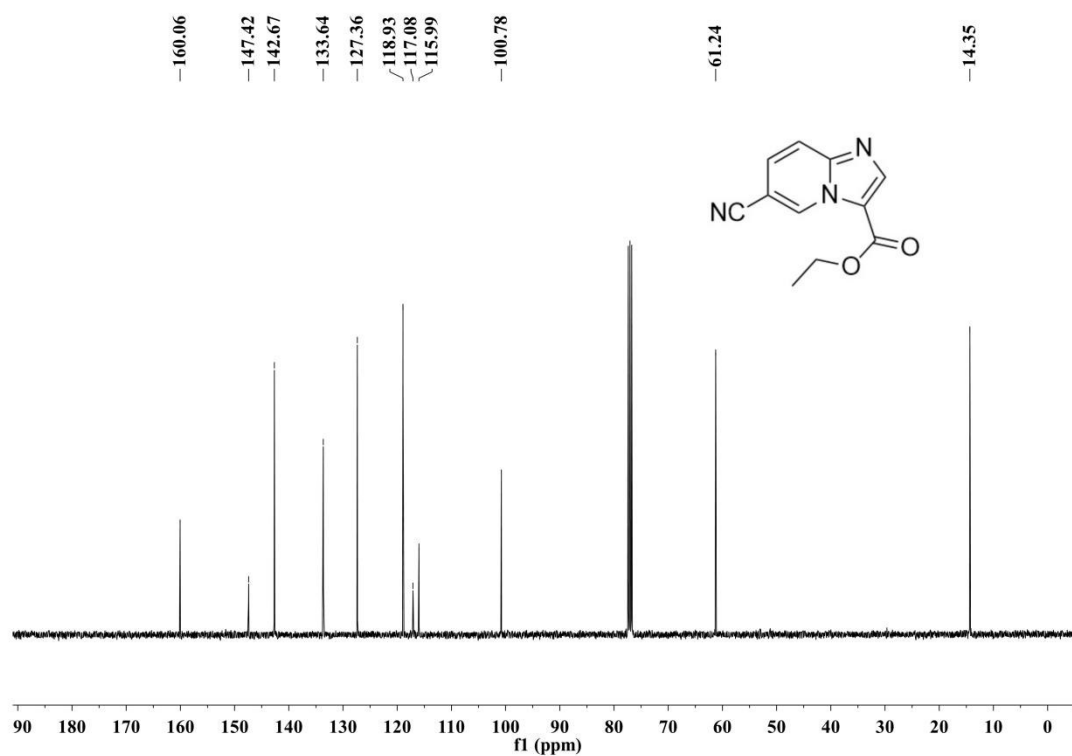
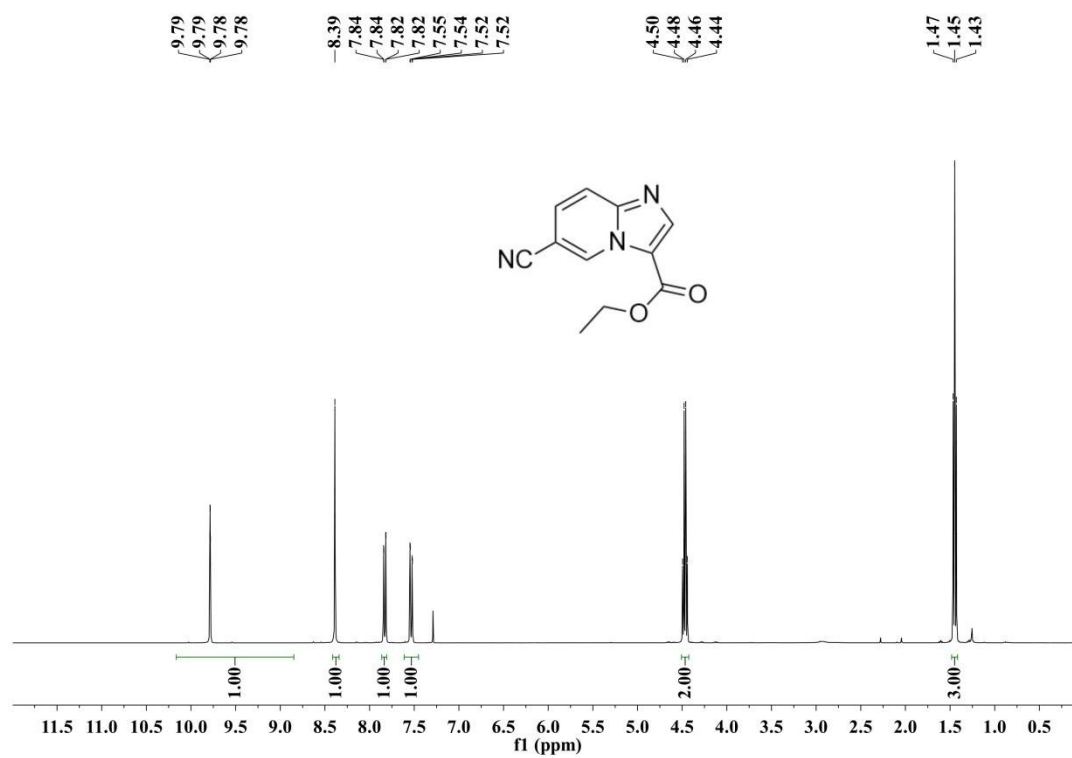
Ethyl 6-nitroimidazo[1,2-*a*]pyridine-3-carboxylate (3y)



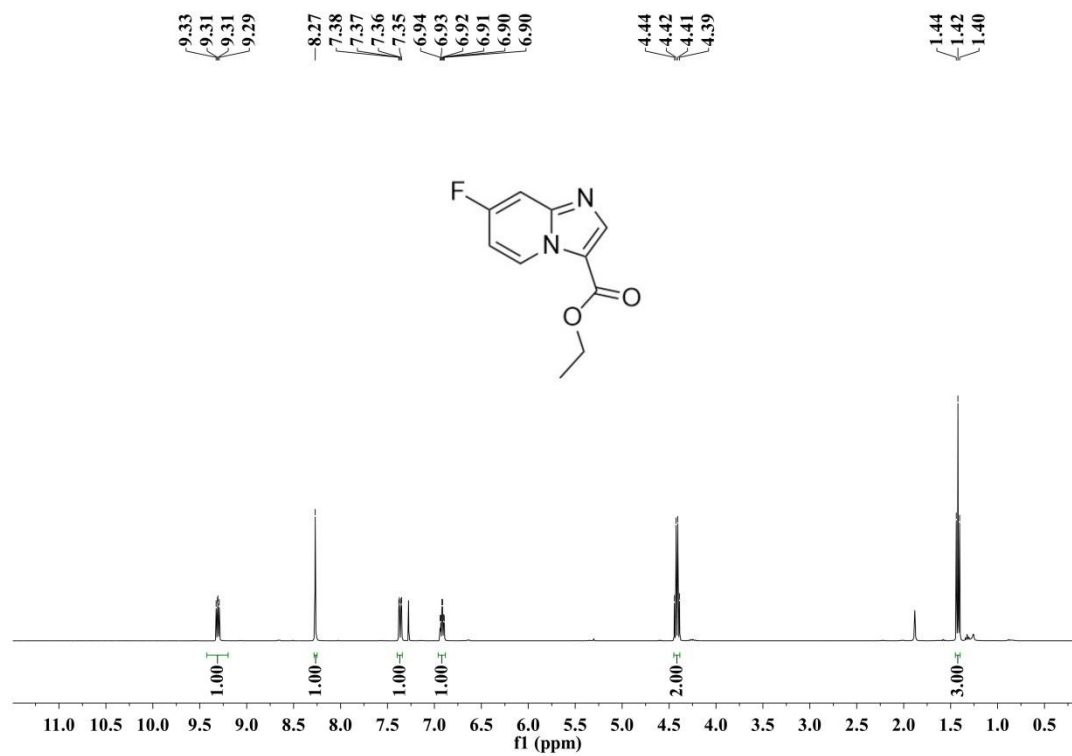
Ethyl 6-(trifluoromethyl)imidazo[1,2-a]pyridine-3-carboxylate (3z)

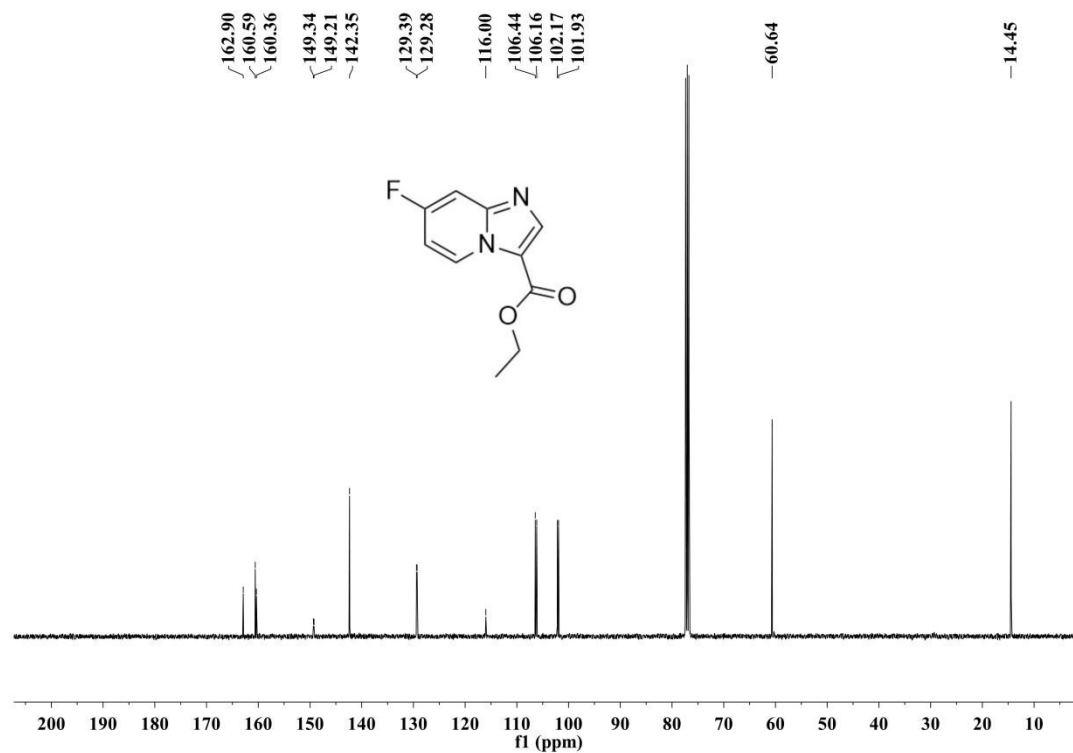


Ethyl 6-cyanoimidazo[1,2-a]pyridine-3-carboxylate (3aa)

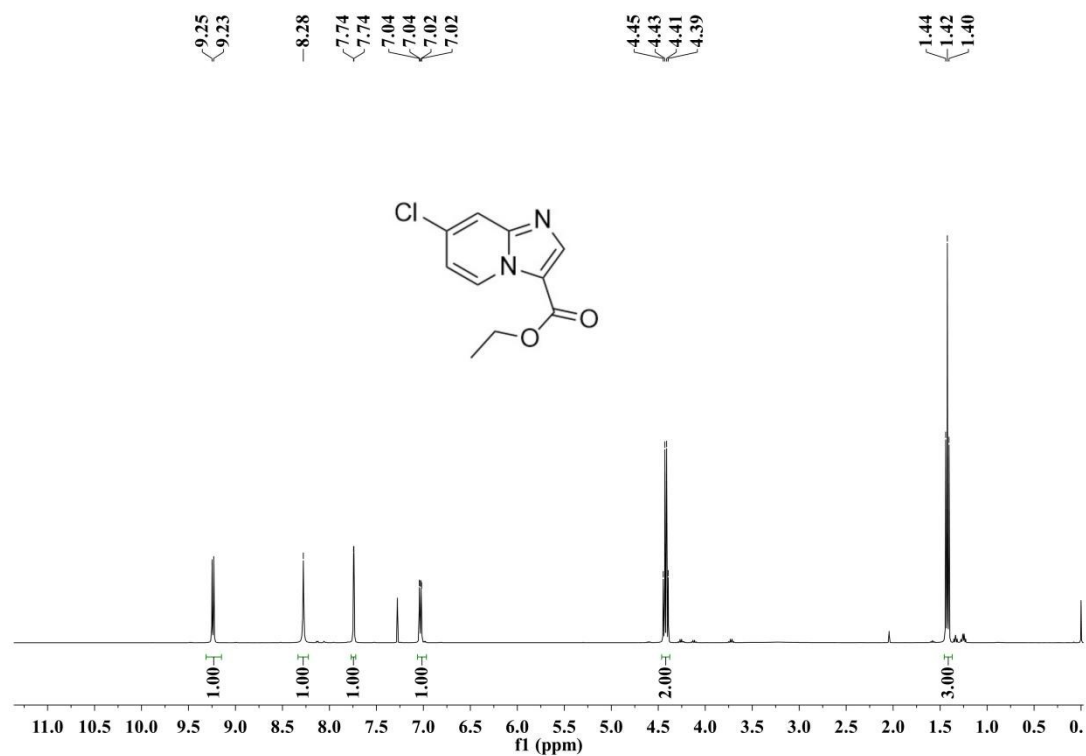


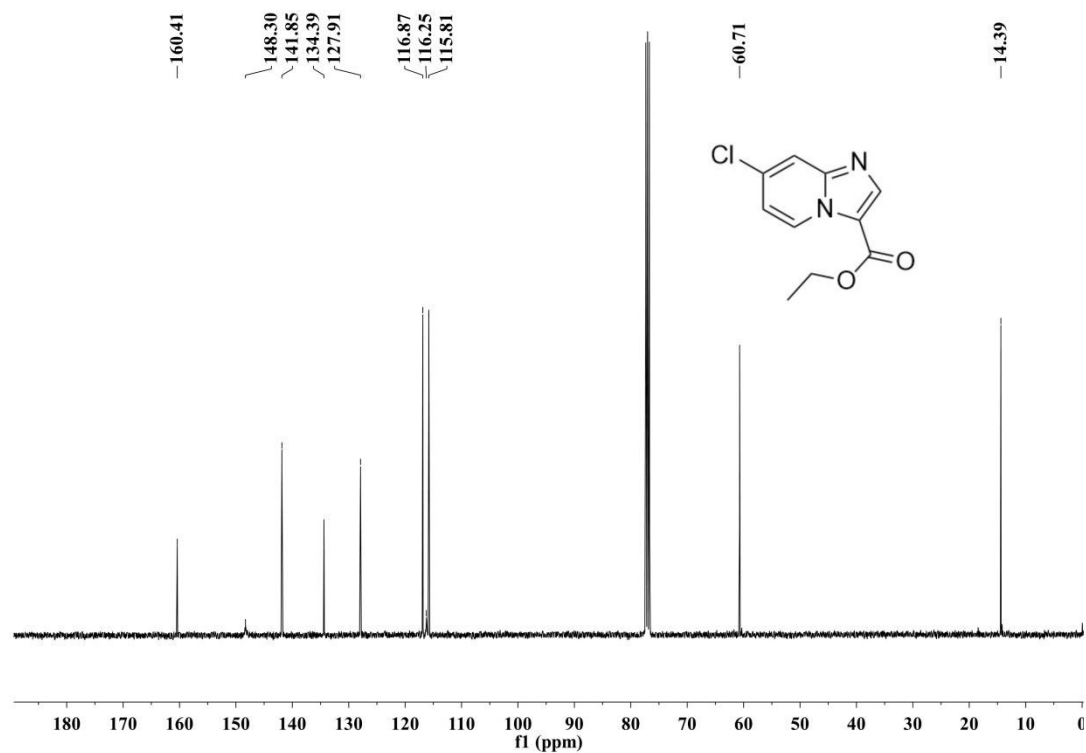
Ethyl 7-fluoroimidazo[1,2-*a*]pyridine-3-carboxylate (3ab)



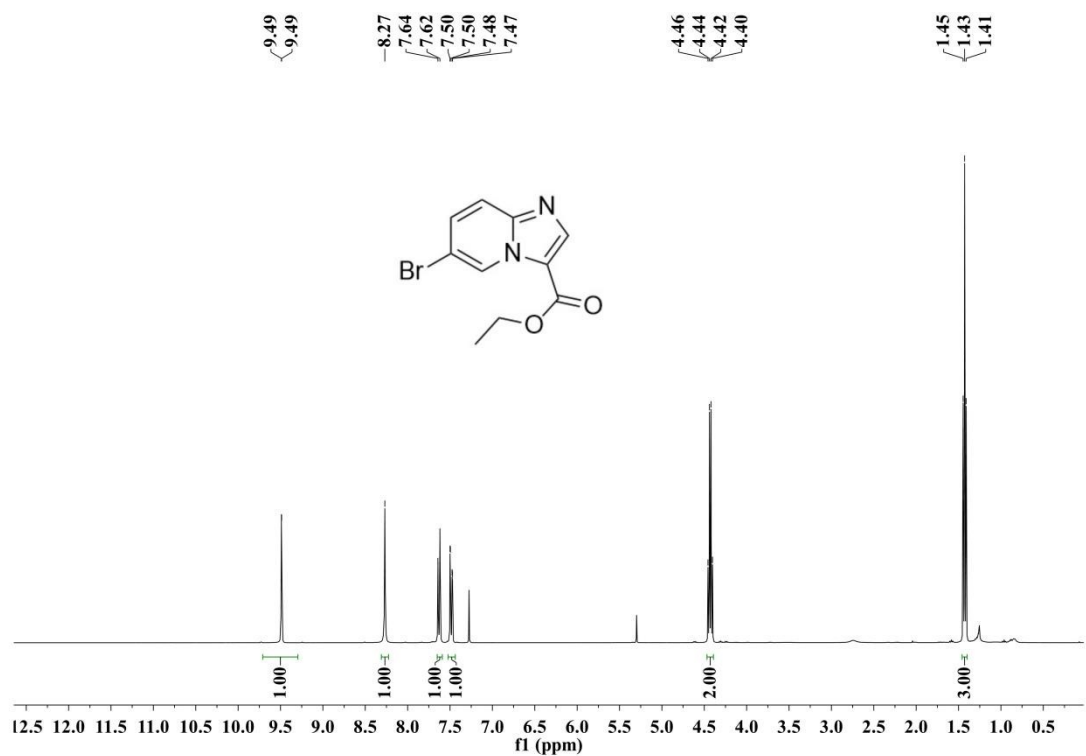


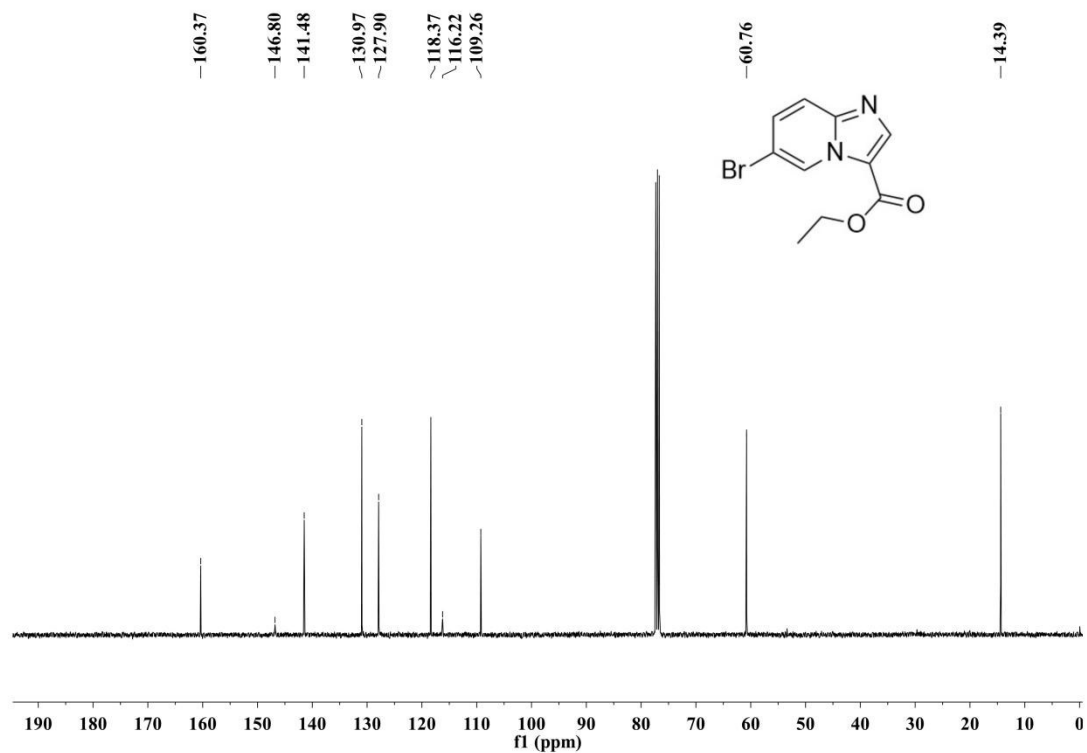
Ethyl 7-chloroimidazo[1,2-*a*]pyridine-3-carboxylate (3ac)



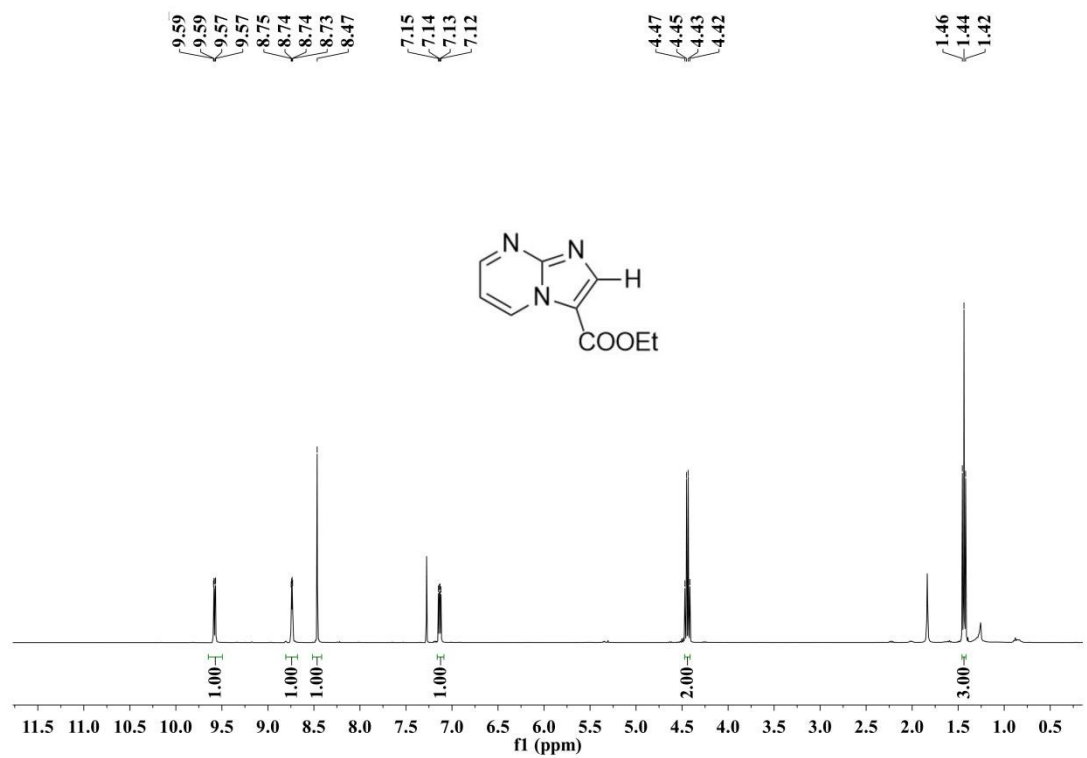


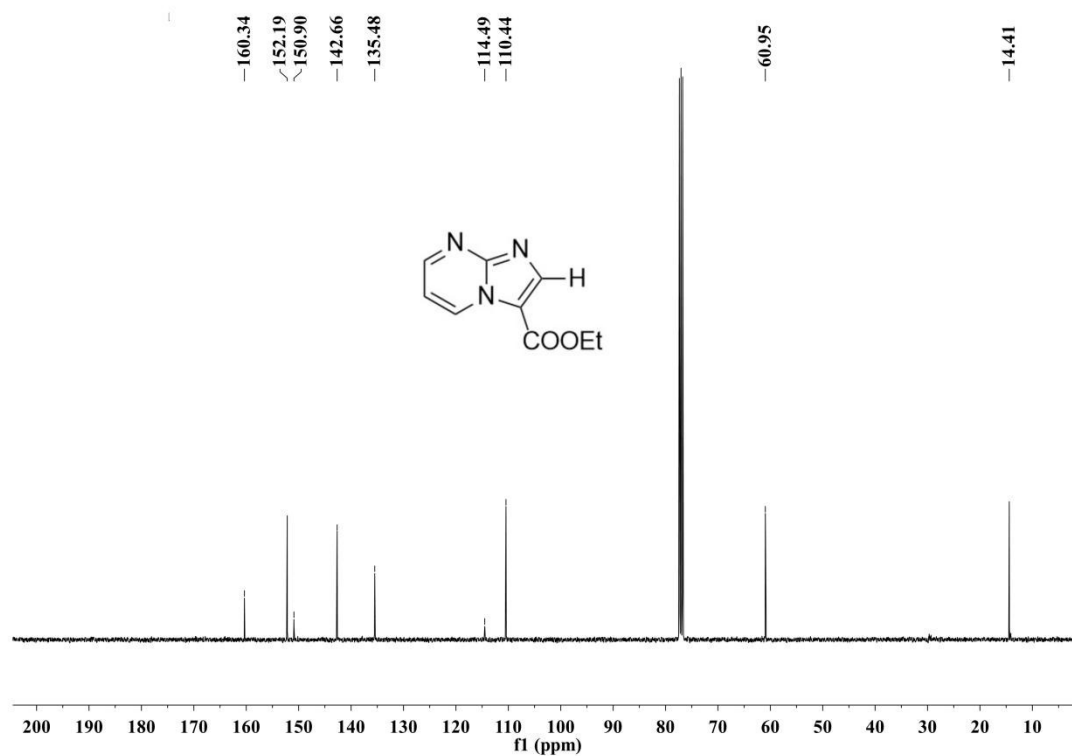
Ethyl 6-bromoimidazo[1,2-*a*]pyridine-3-carboxylate (3ad)



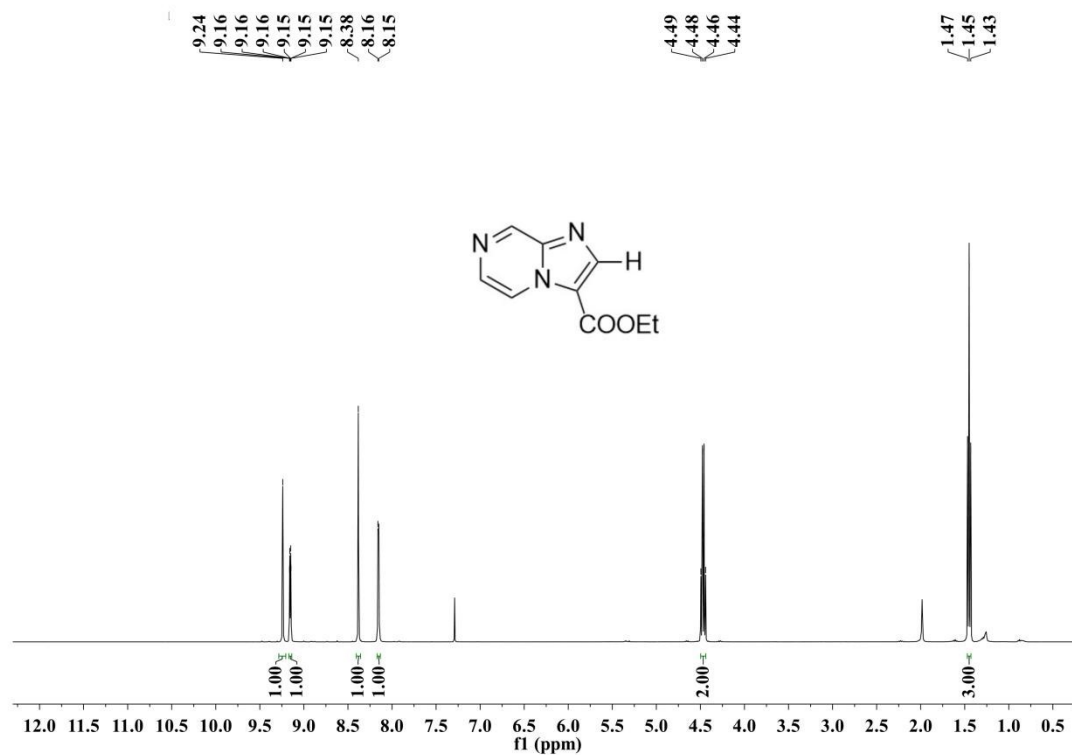


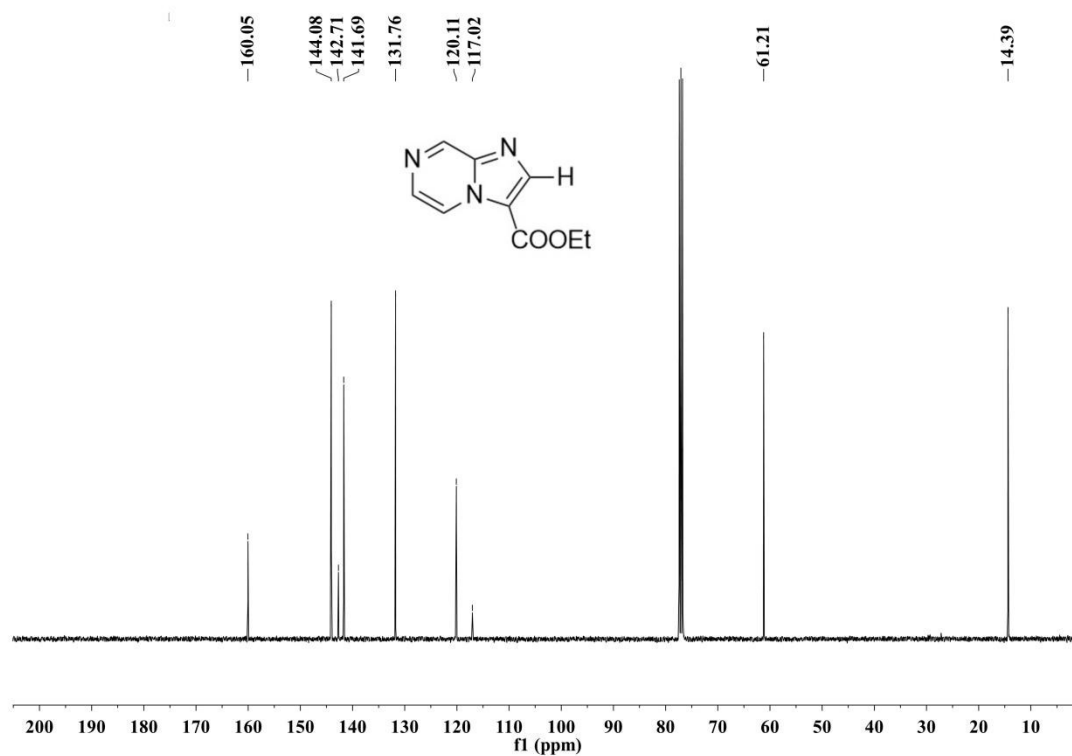
Ethyl imidazo[1,2-a]pyrimidine-3-carboxylate (3ae)



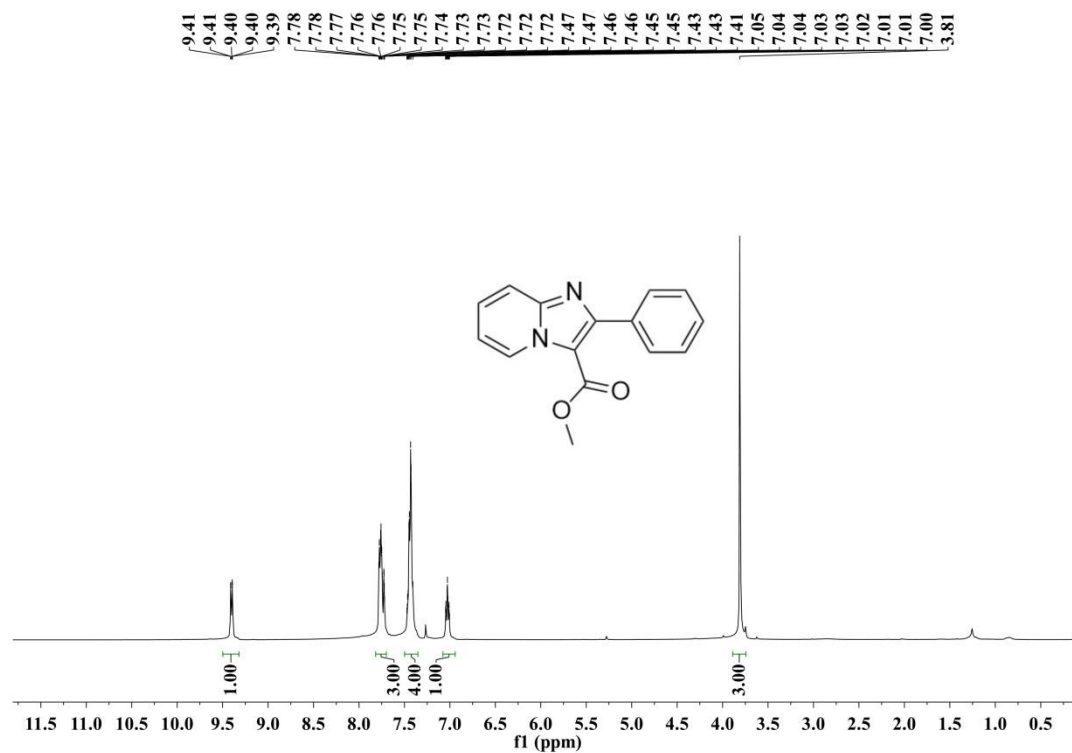


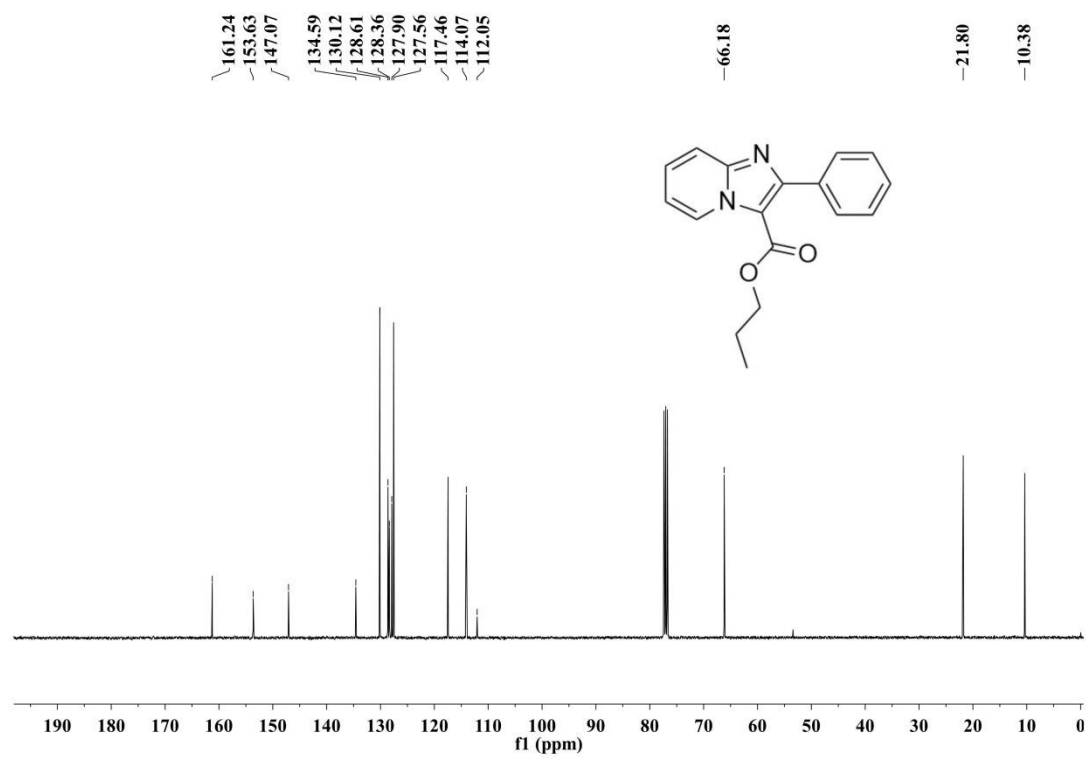
Ethyl imidazo[1,2-*a*]pyrazine-3-carboxylate (3af)



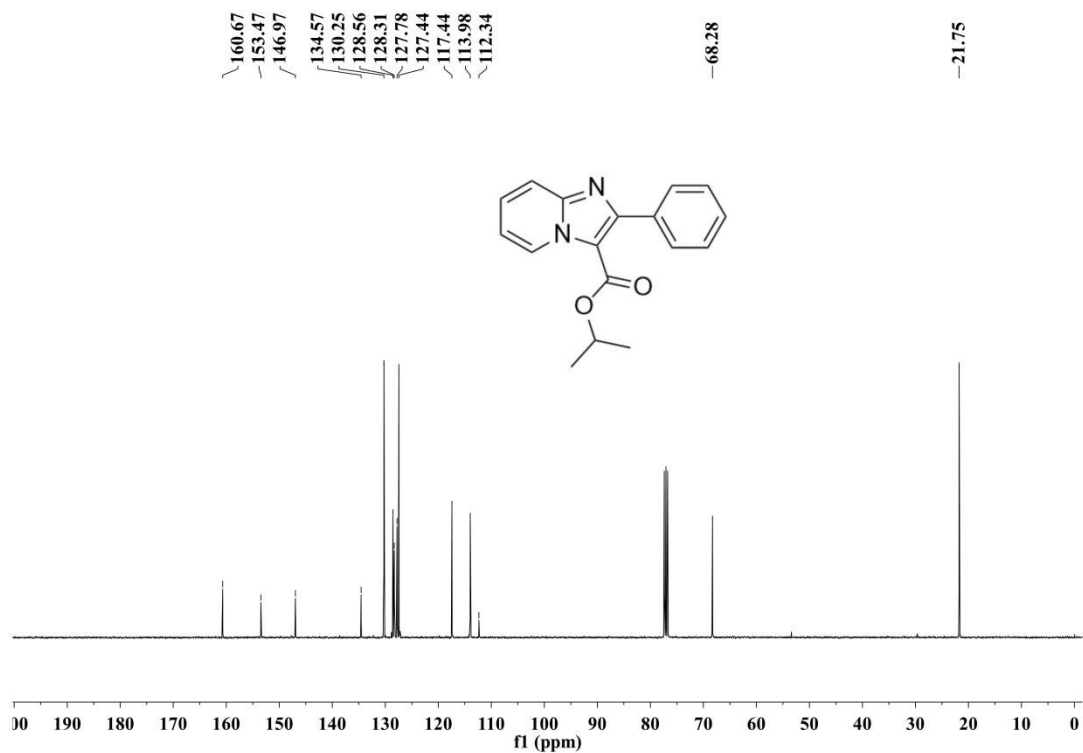
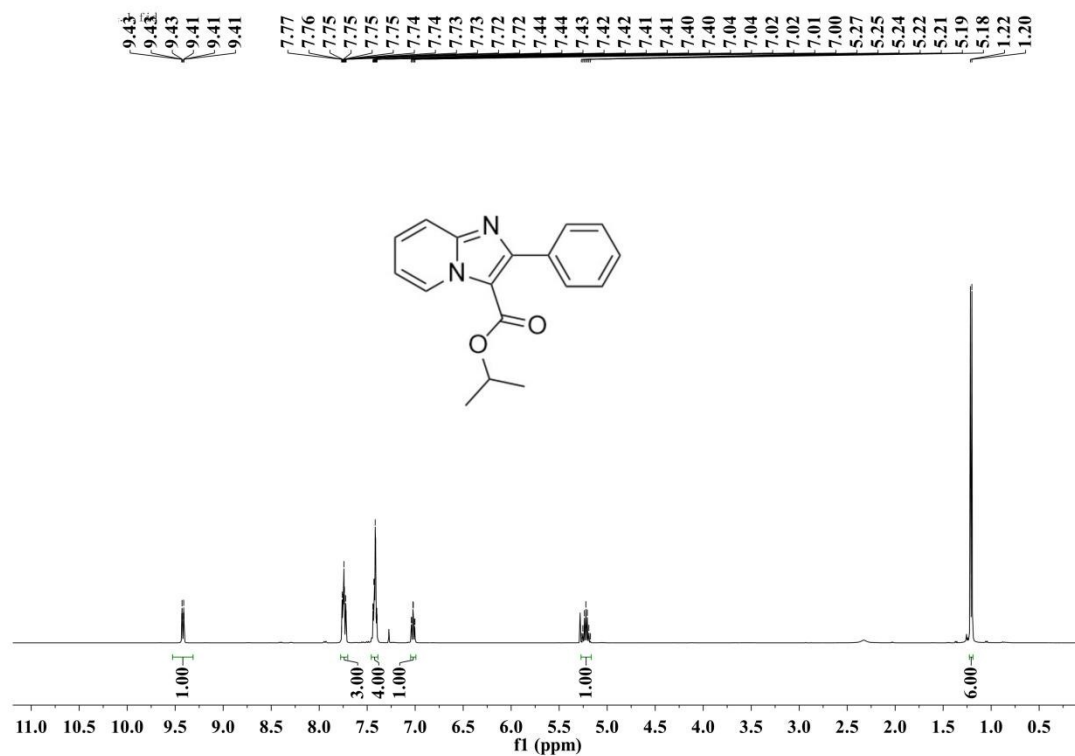


Methyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4a)

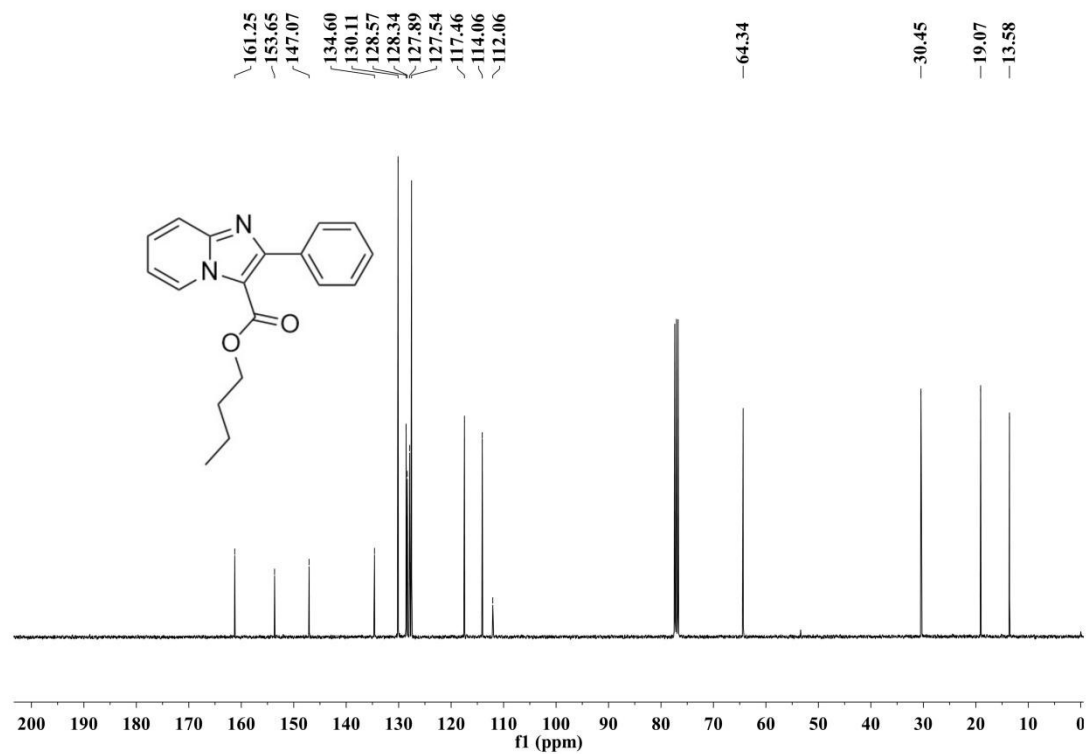
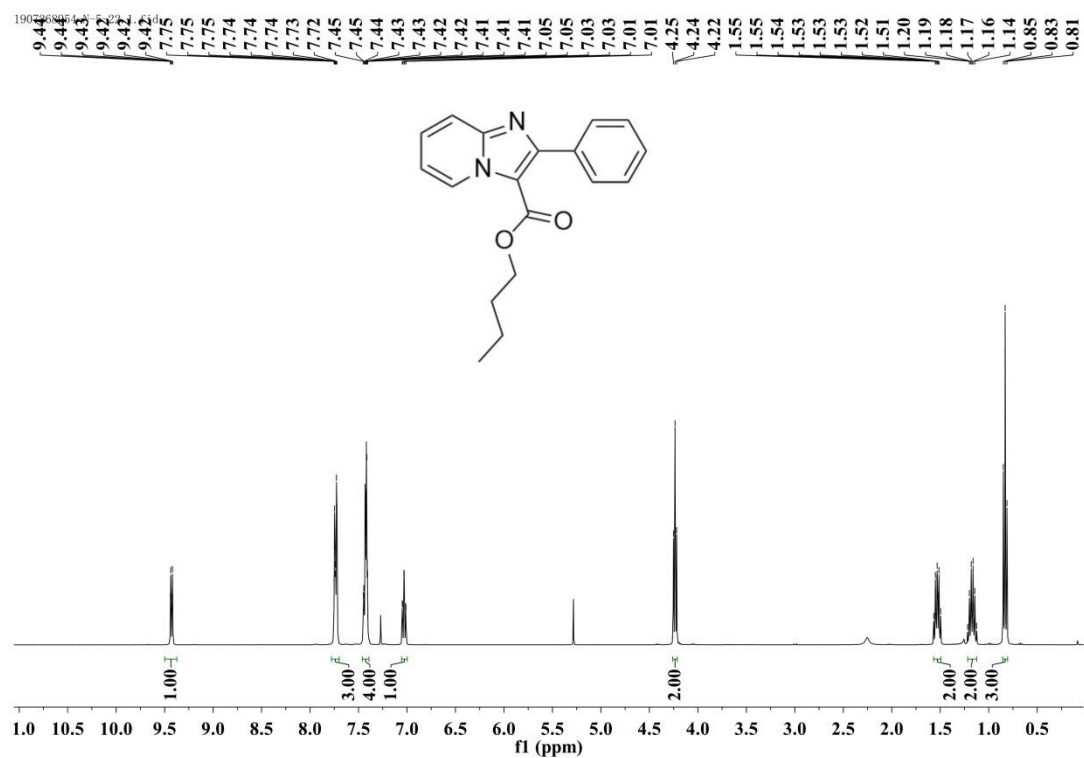




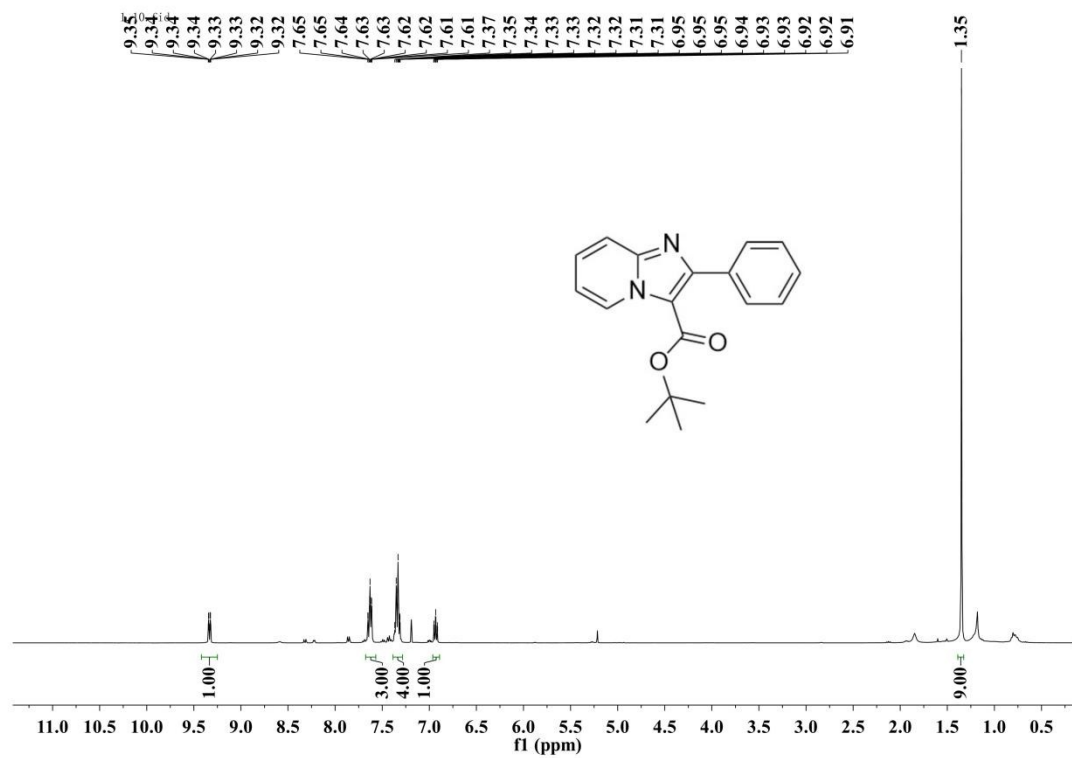
Isopropyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4c)

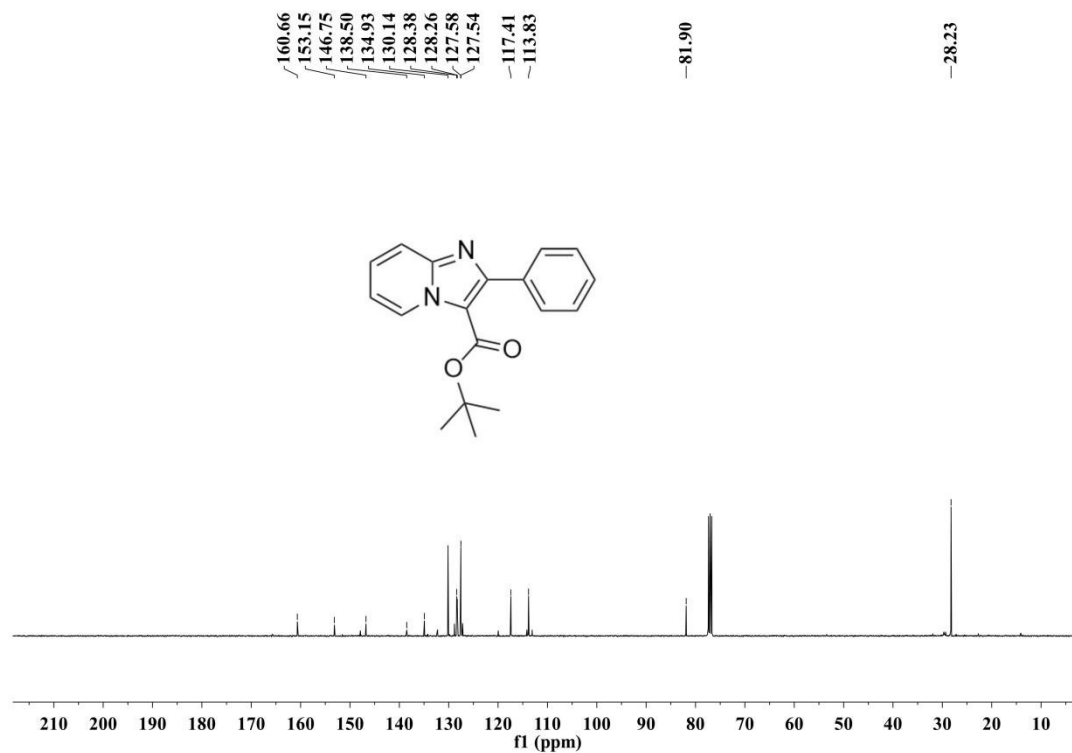


Butyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4d)

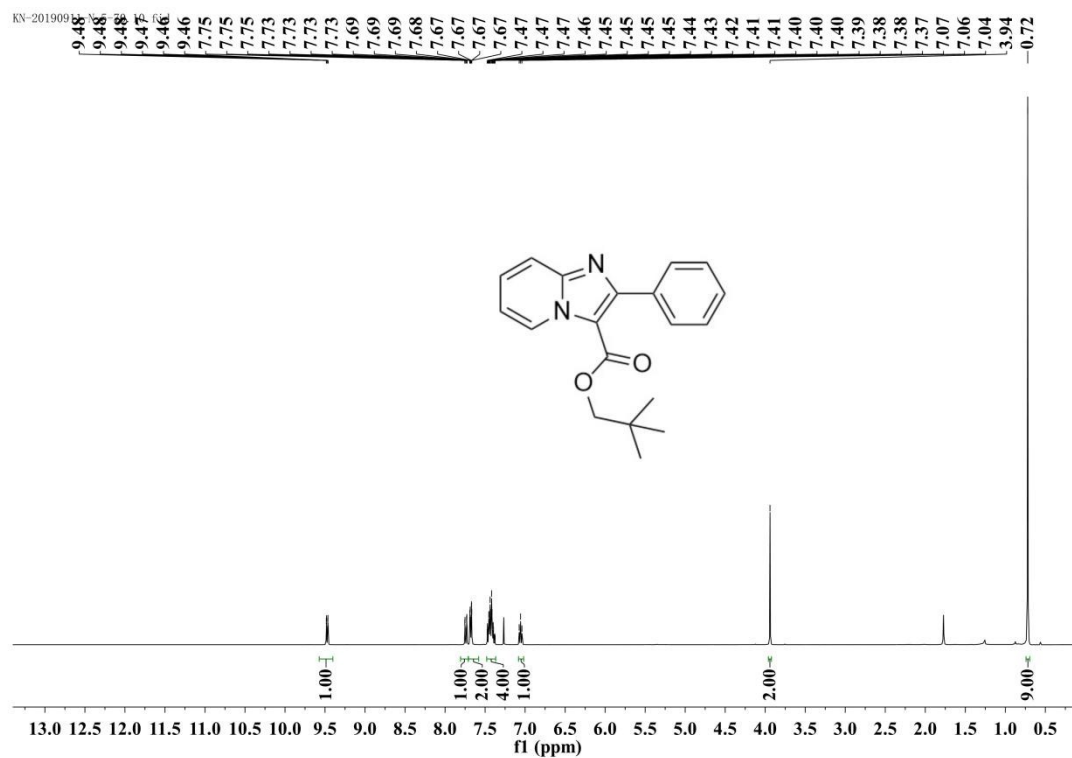


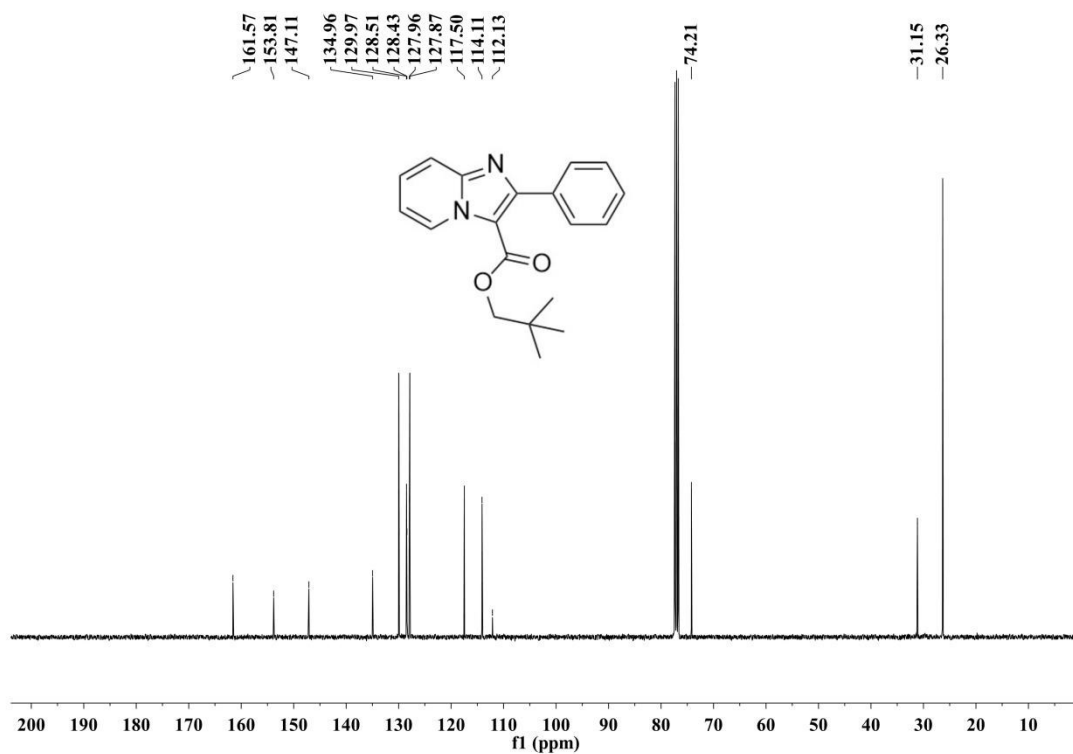
***tert*-Butyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4e)**



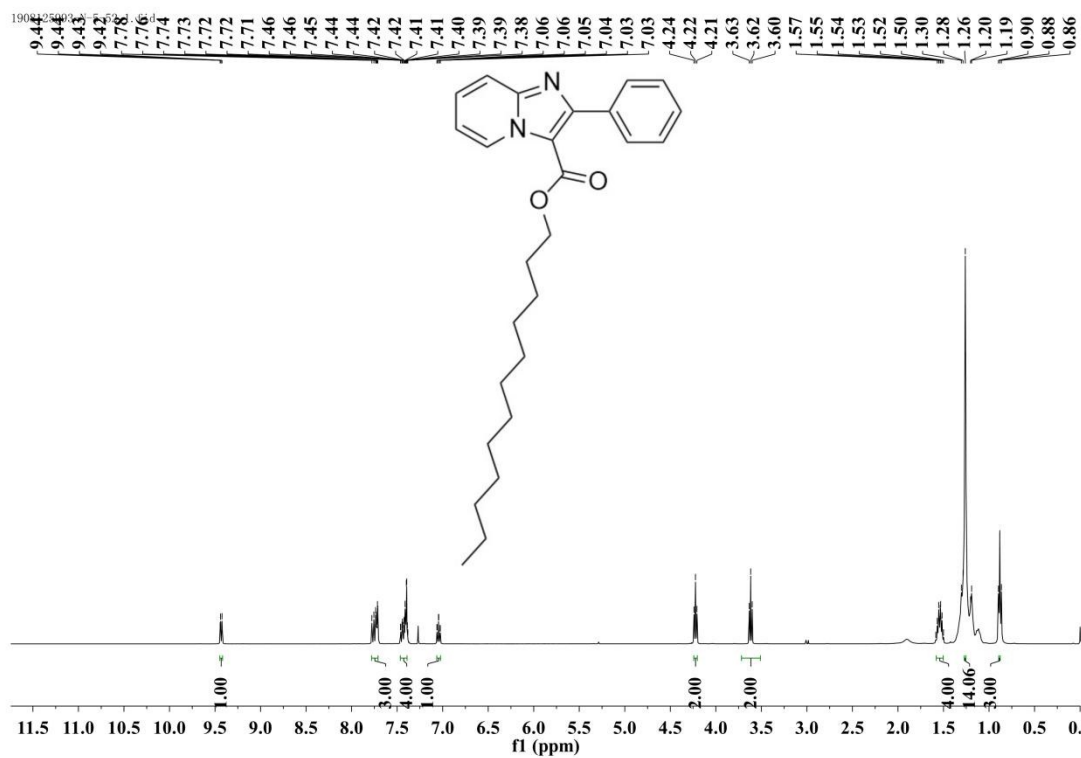


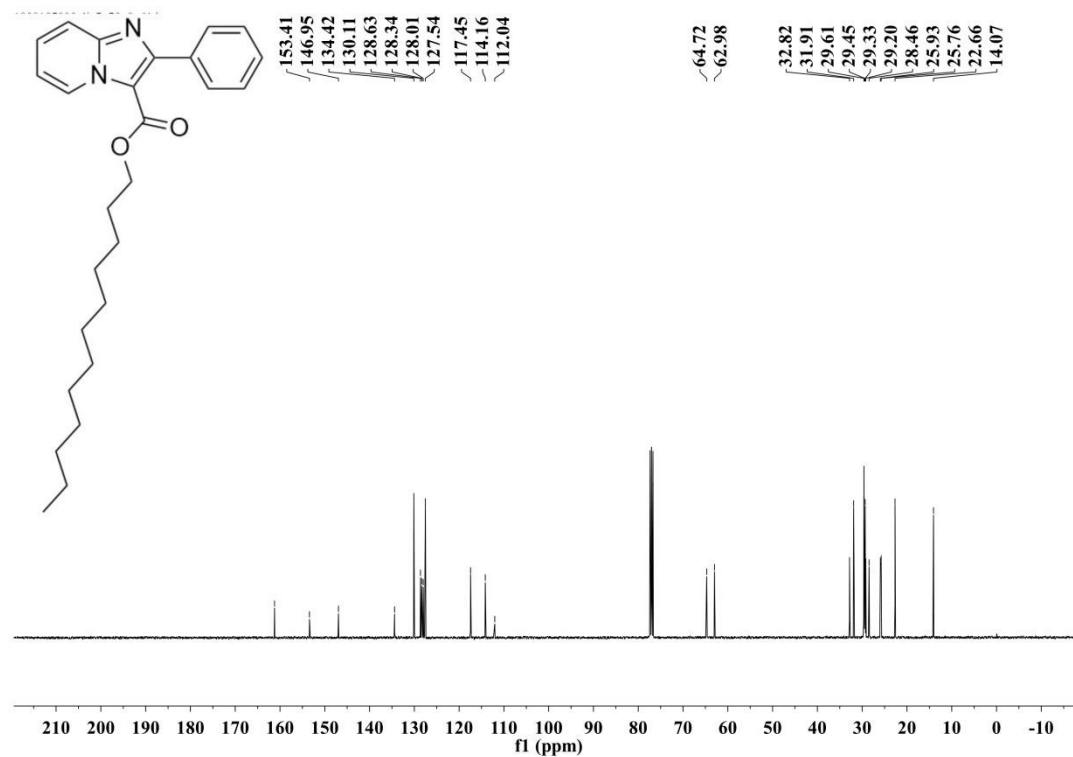
Neopentyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4f)



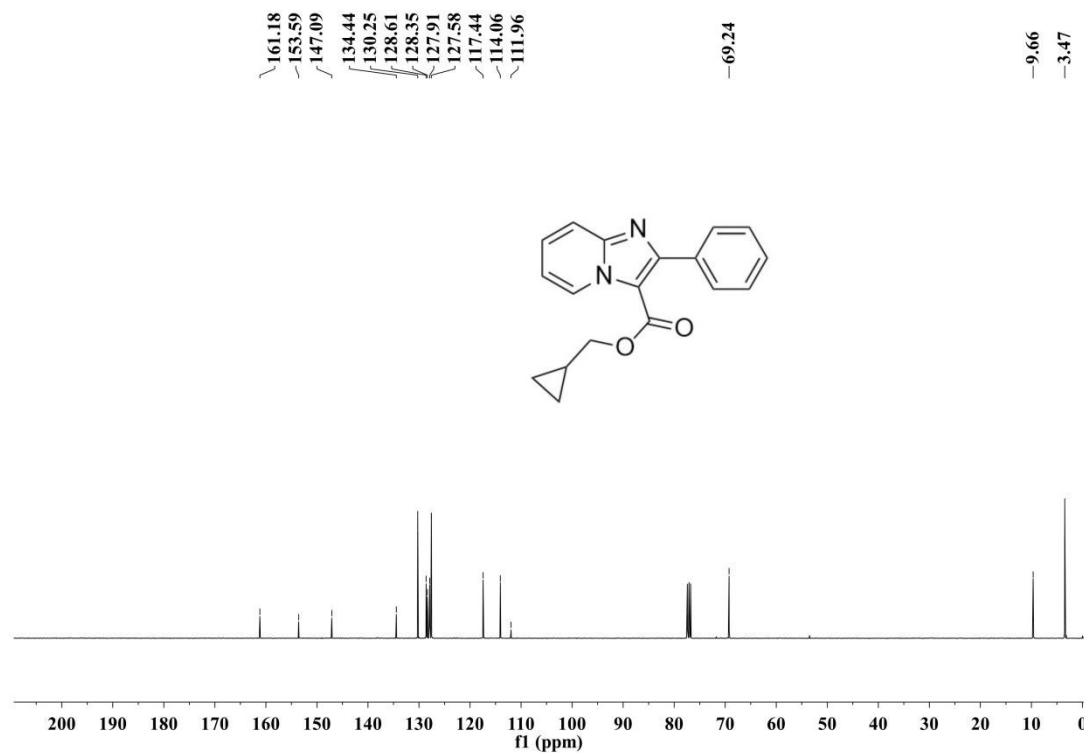
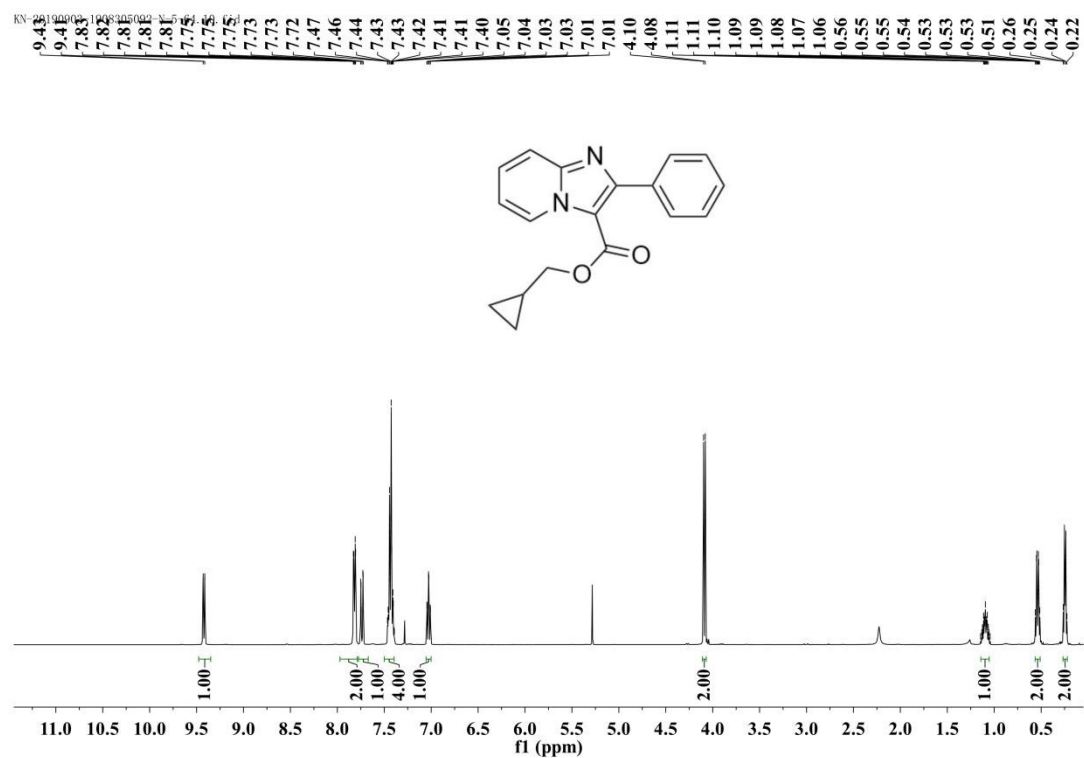


Dodecyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4g)

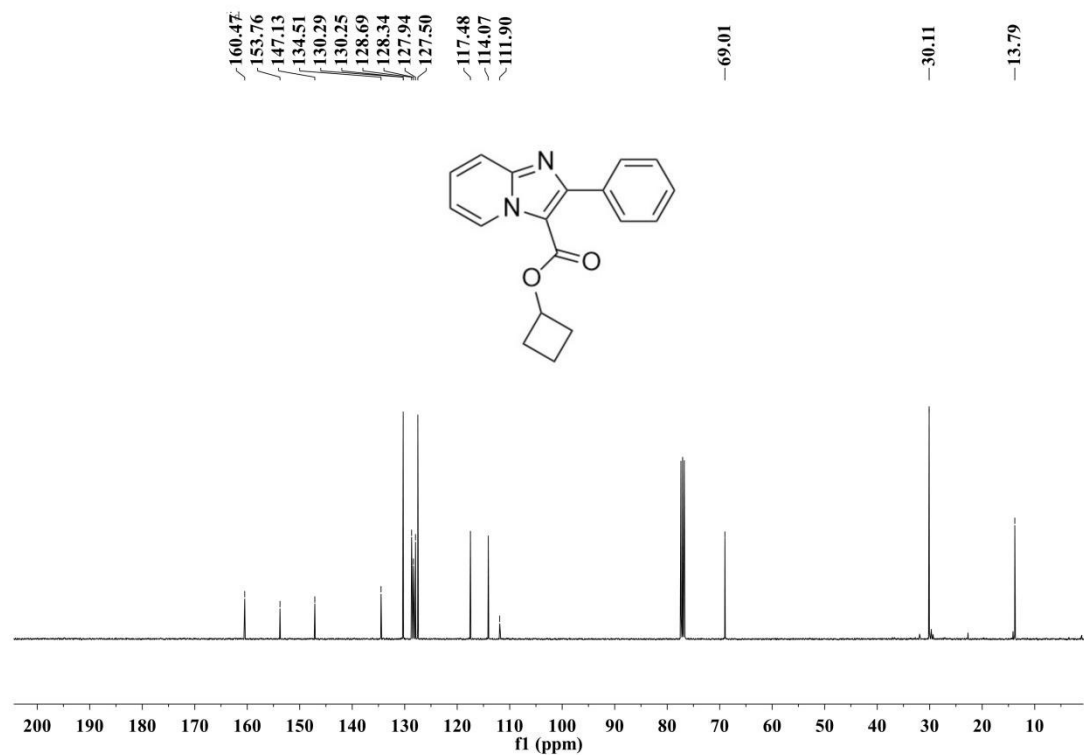
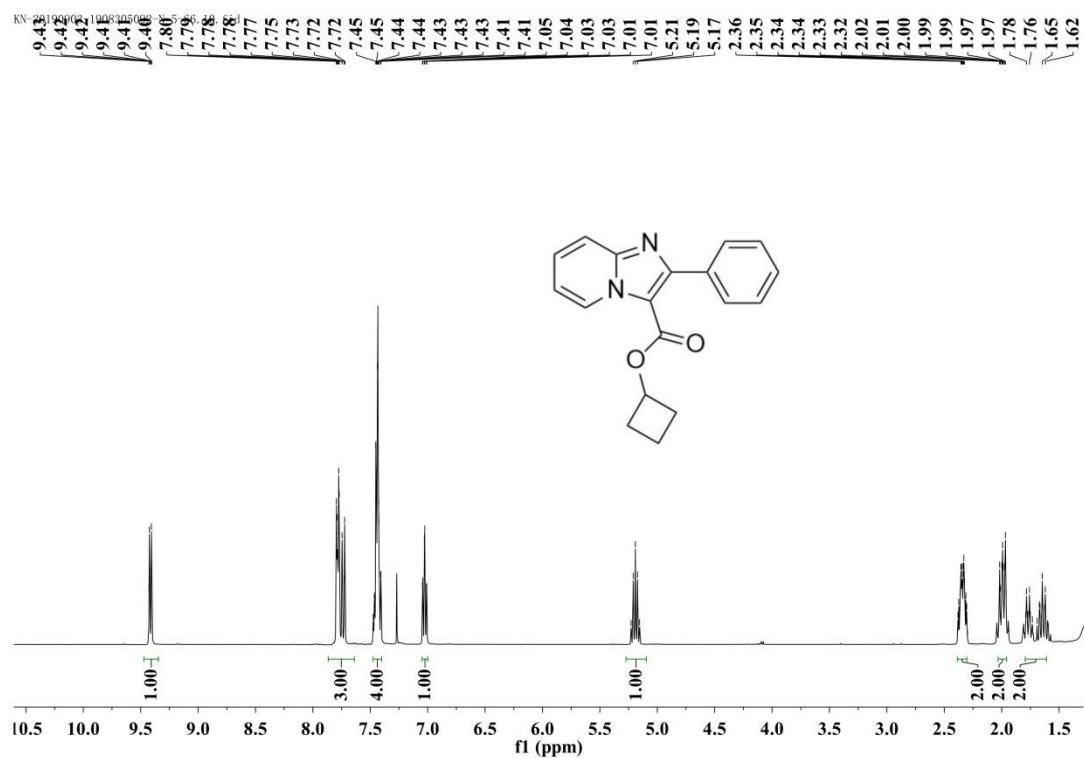




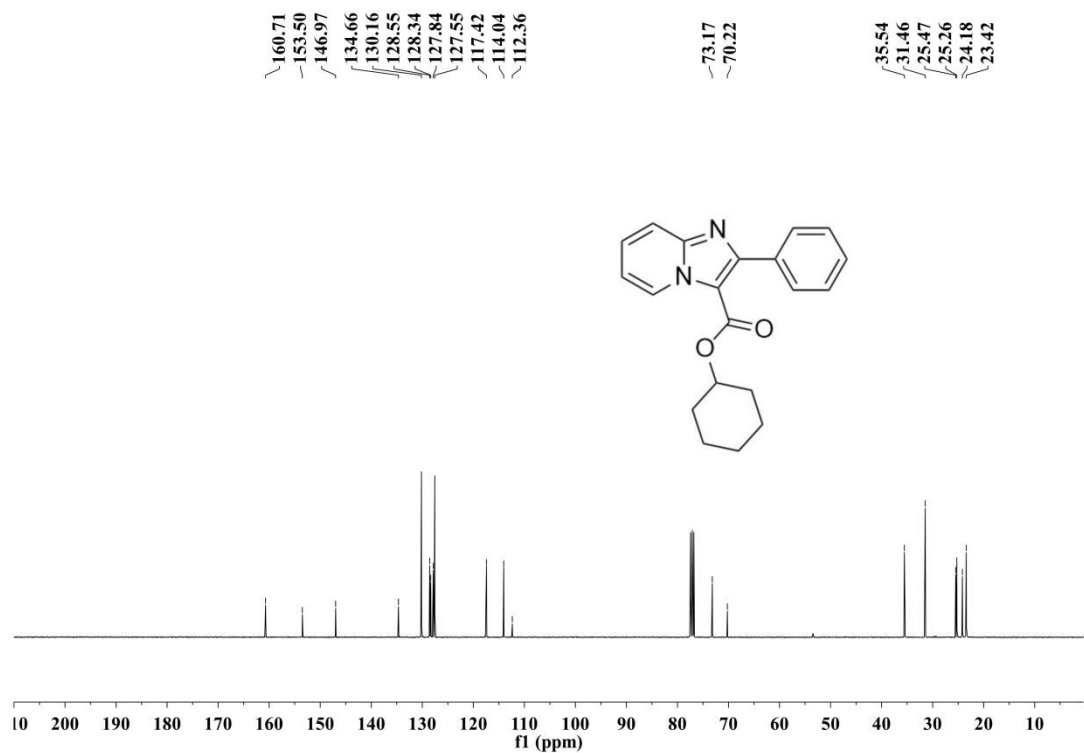
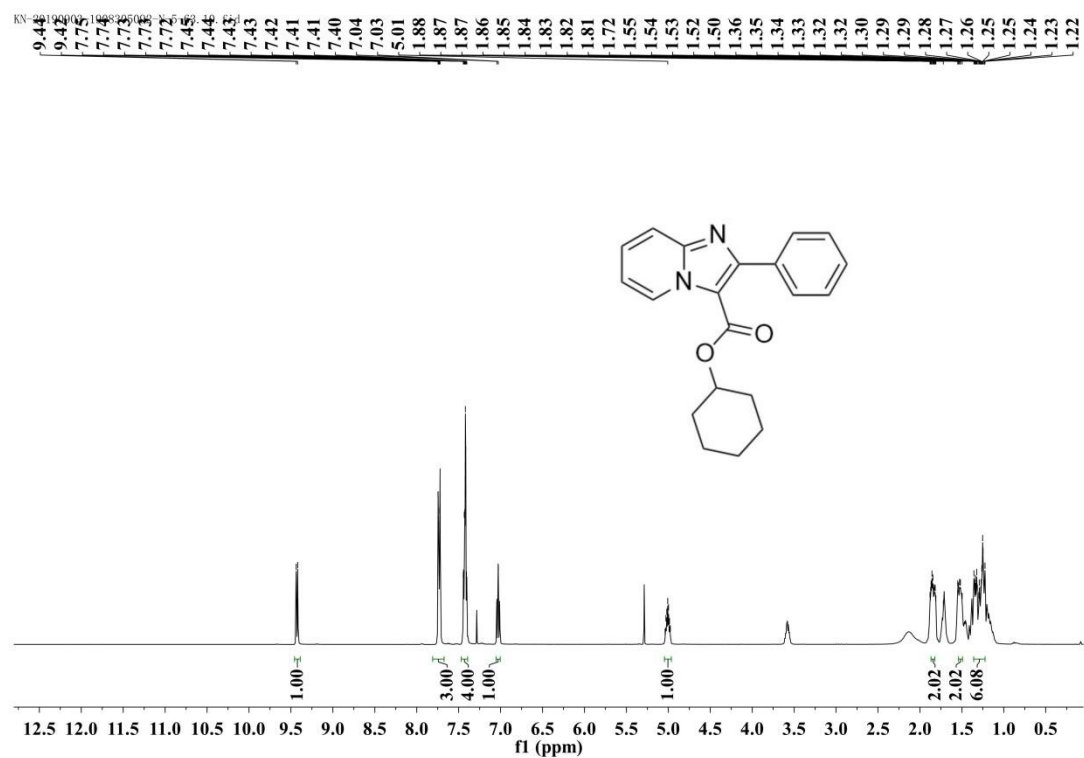
Cyclopropylmethyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4h)



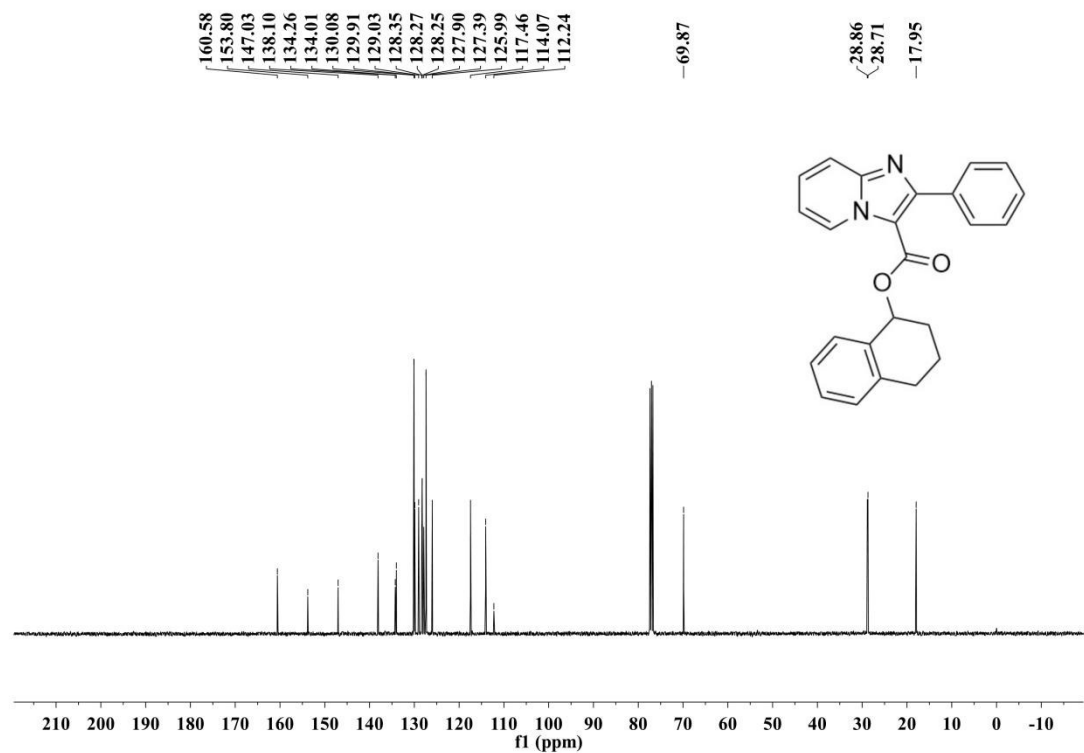
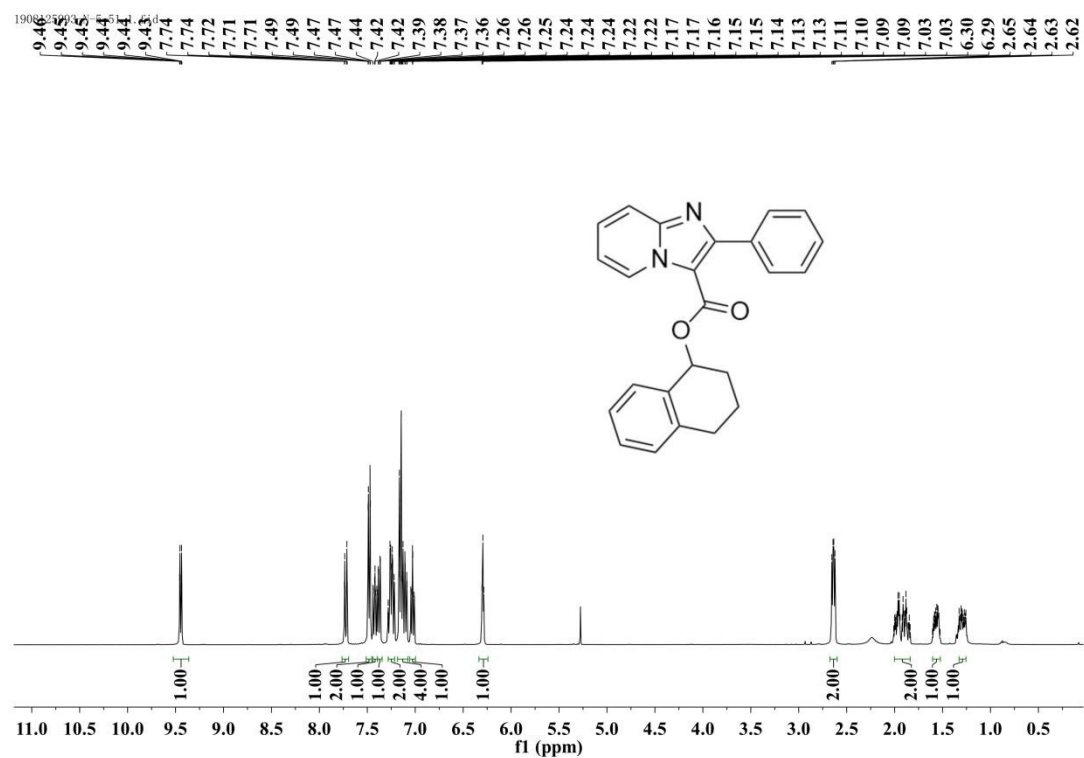
Cyclobutyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4i)



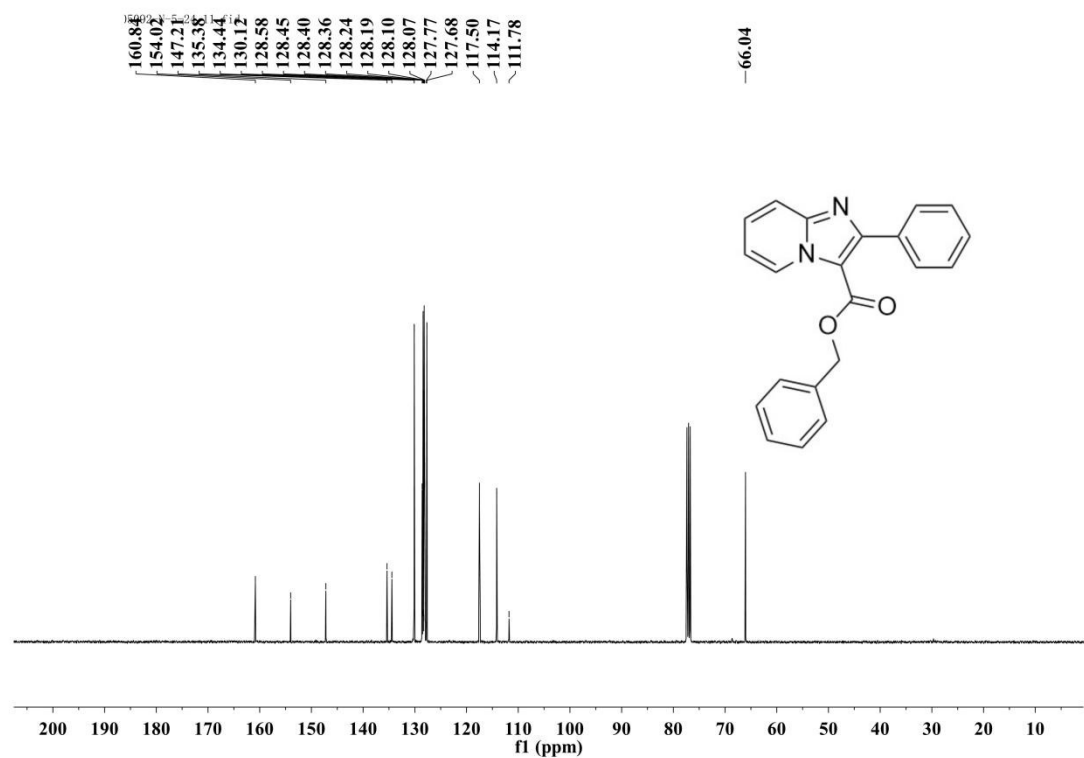
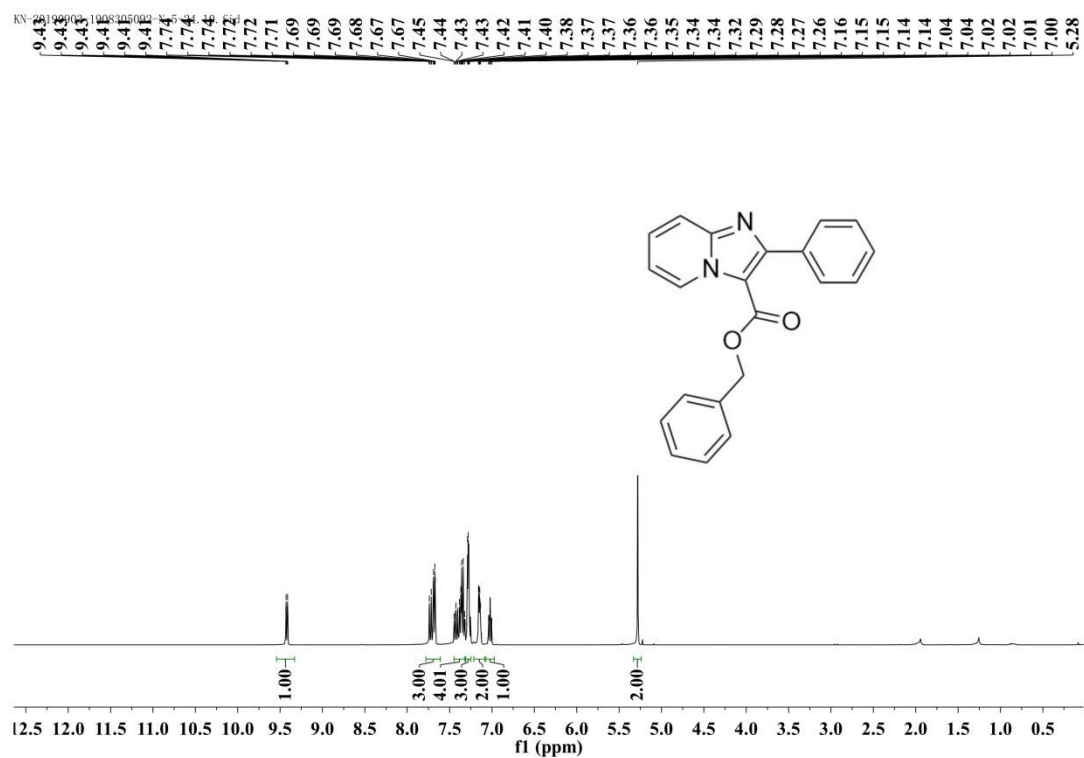
Cyclopentyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4j)



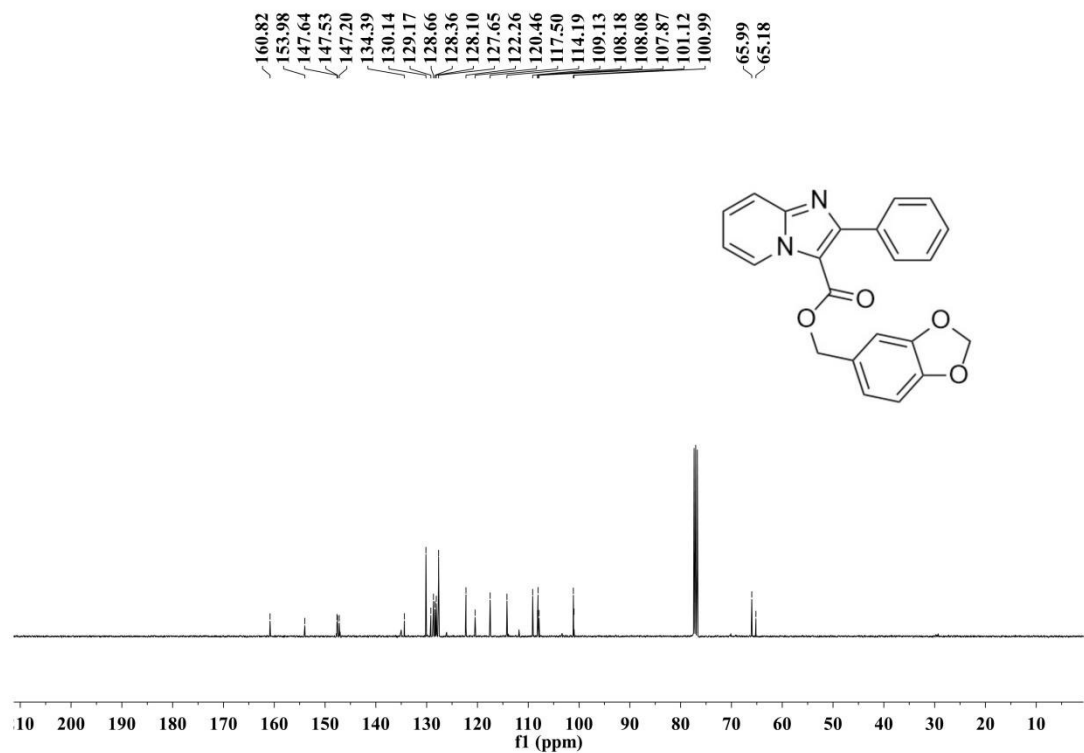
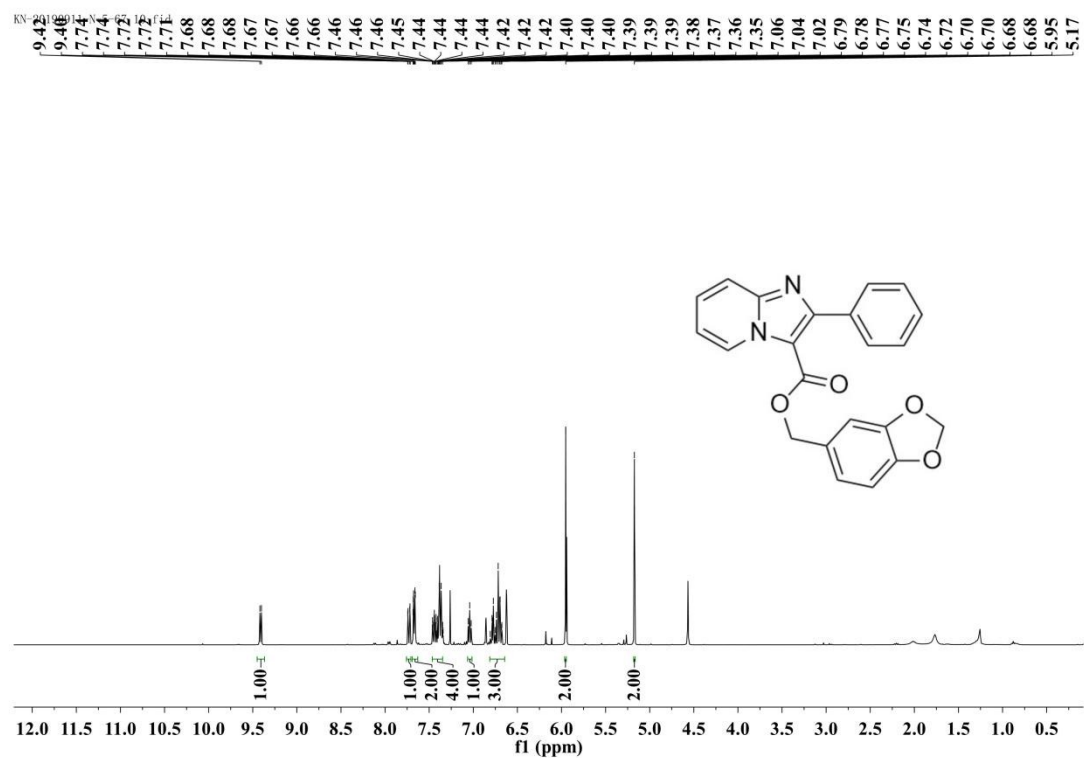
1,2,3,4-Tetrahydronaphthalen-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4l)



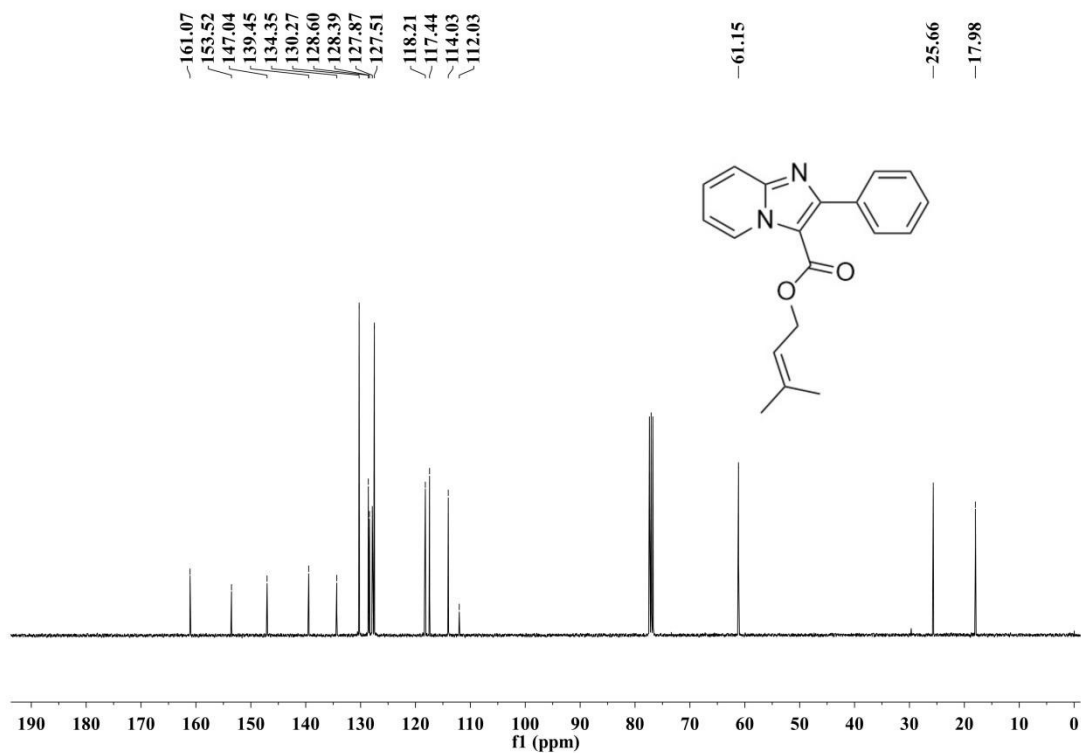
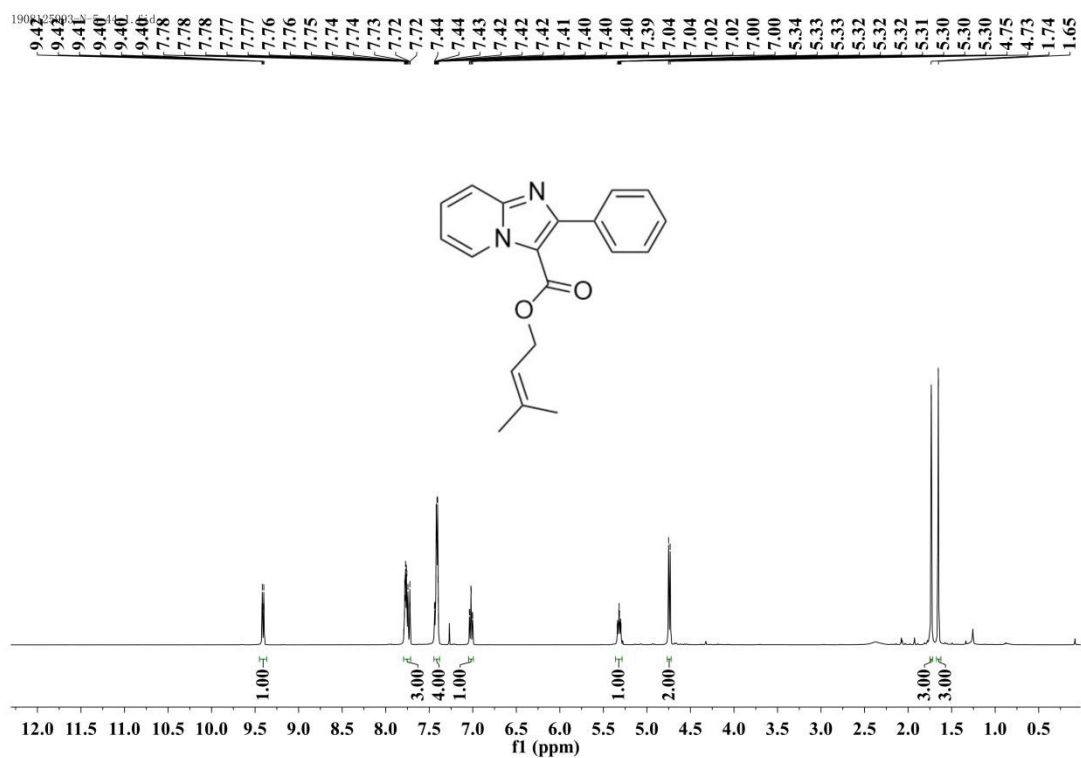
Benzyl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4m)



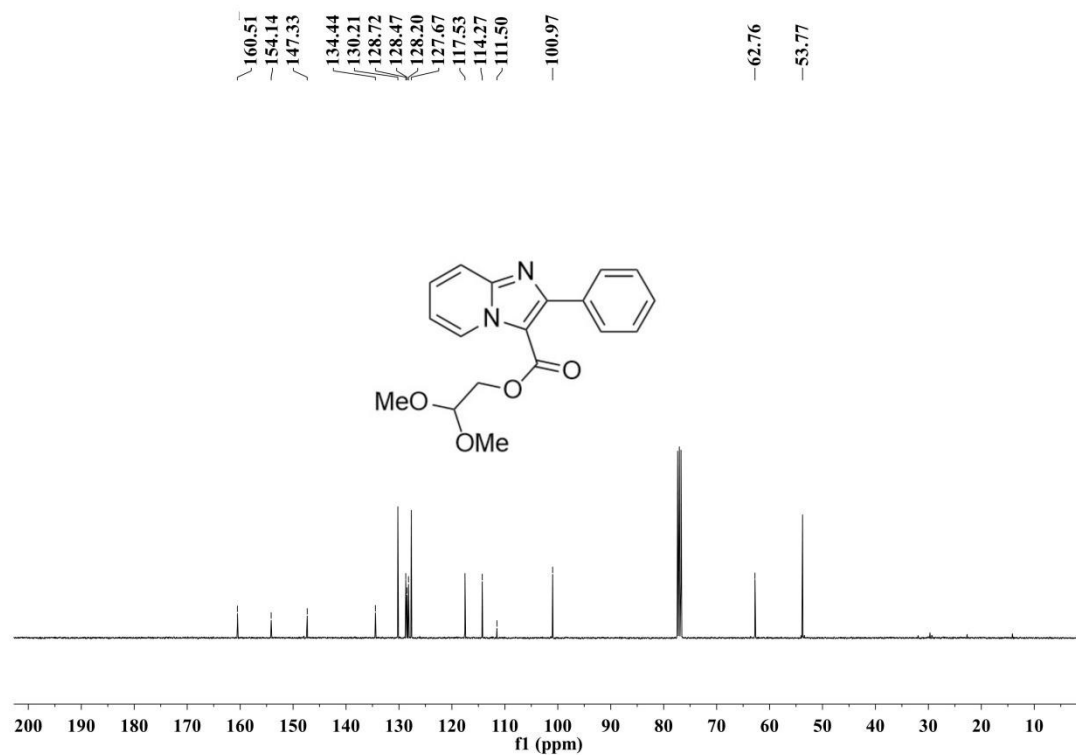
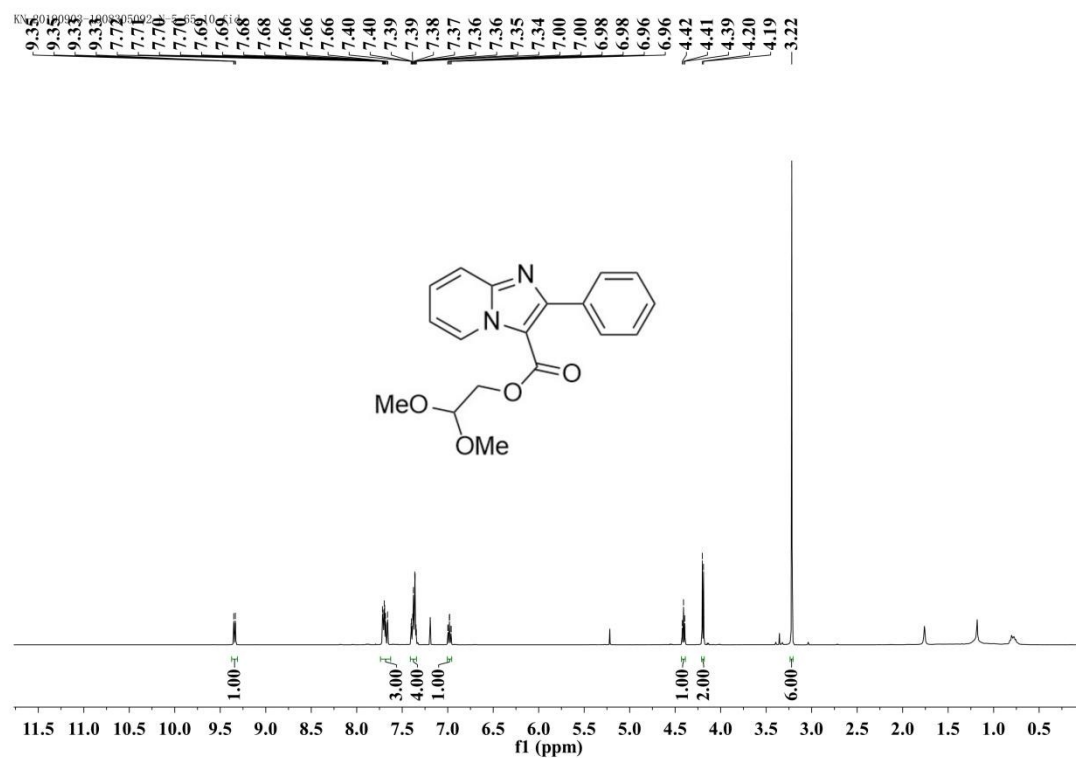
Benzo[d][1,3]dioxol-5-ylmethyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4n)



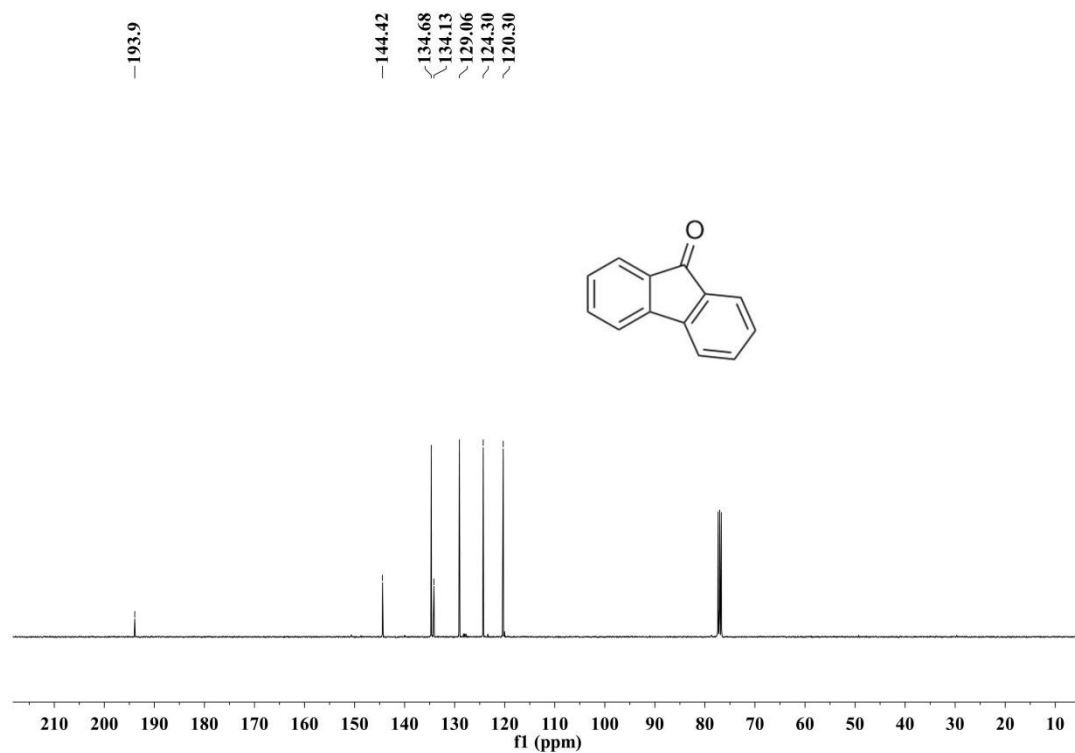
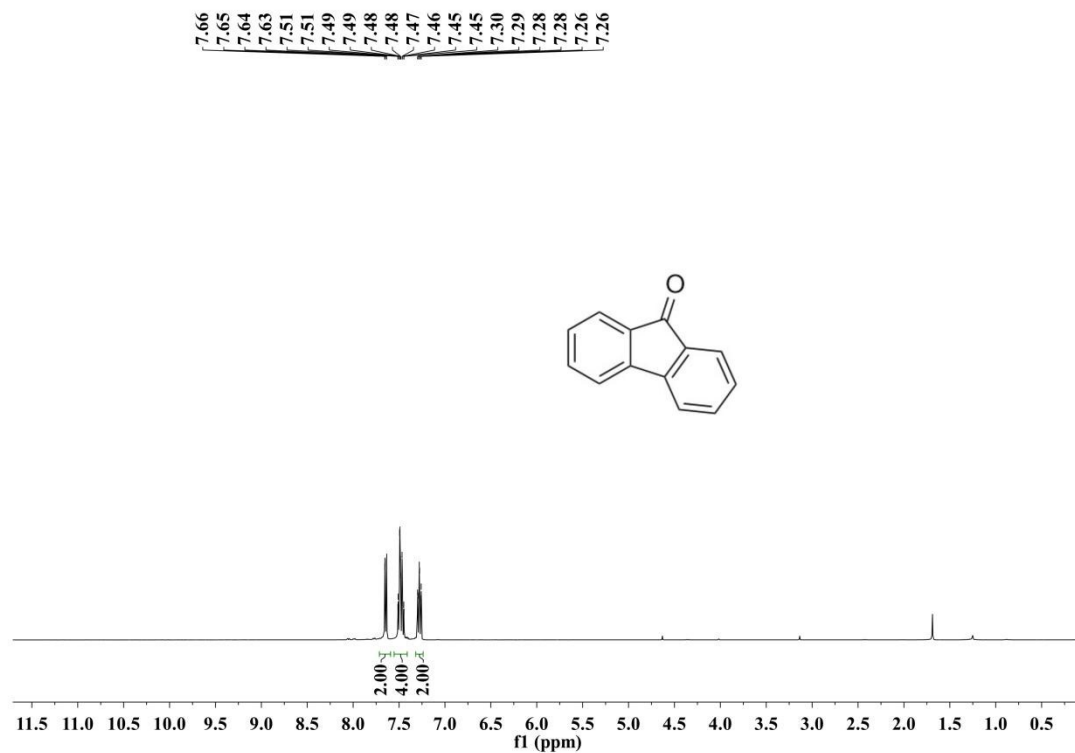
3-Methylbut-2-en-1-yl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4o)



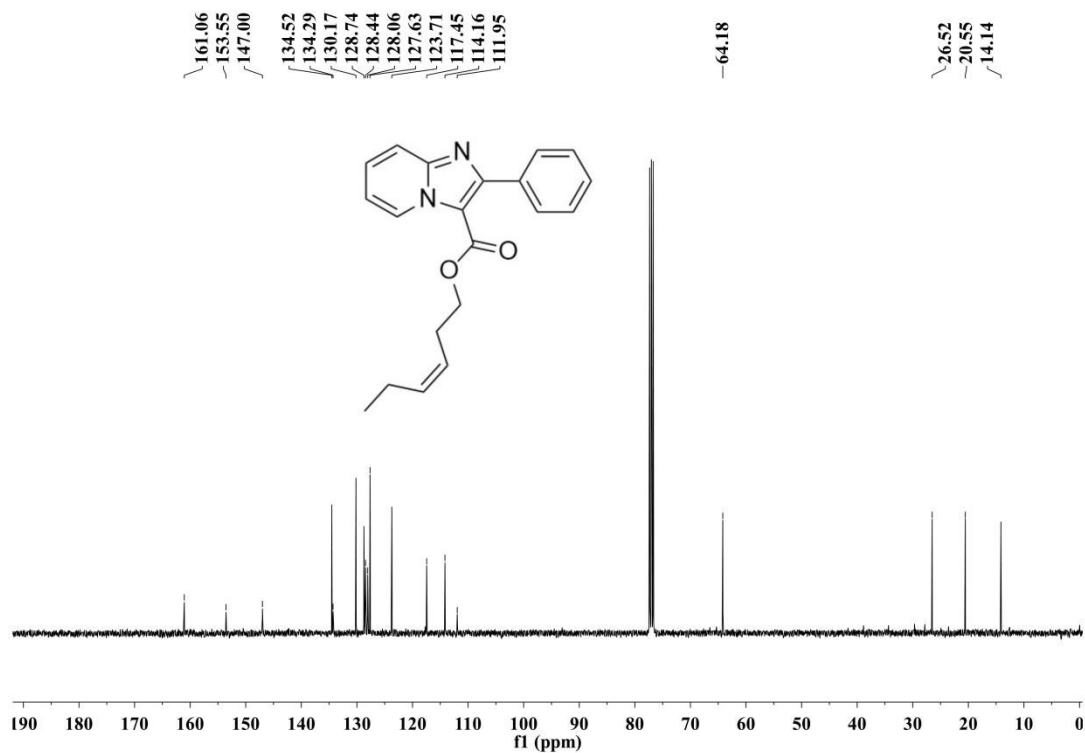
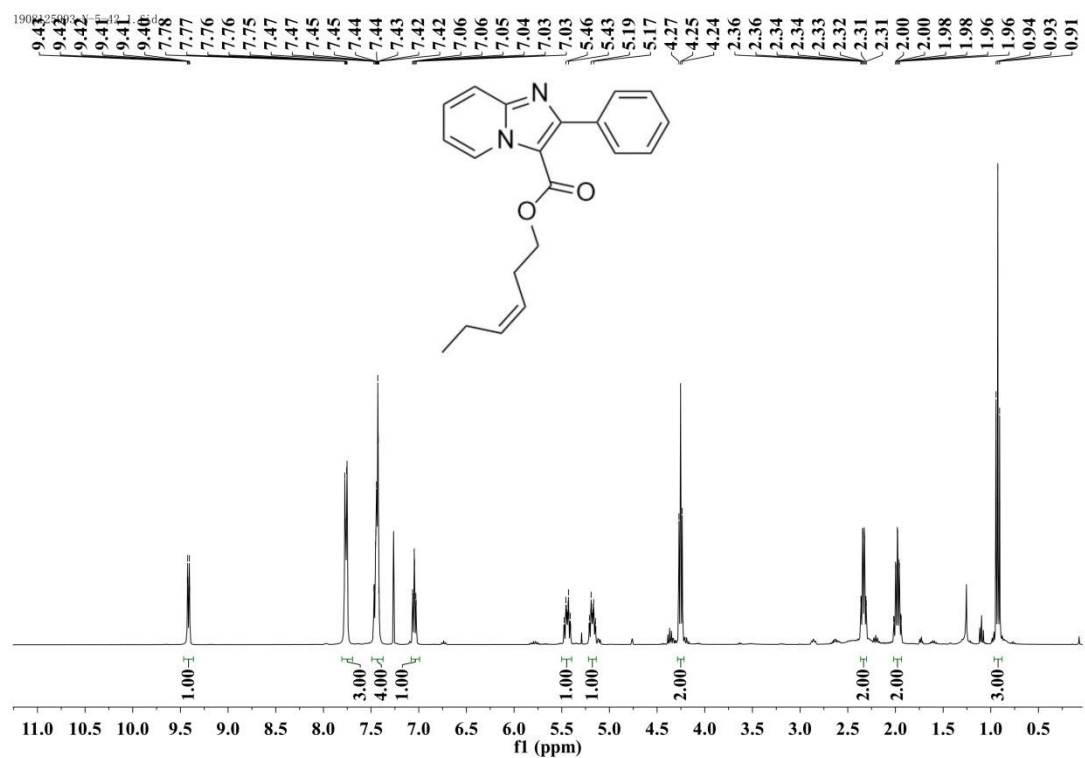
2,2-Dimethoxyethyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4p)



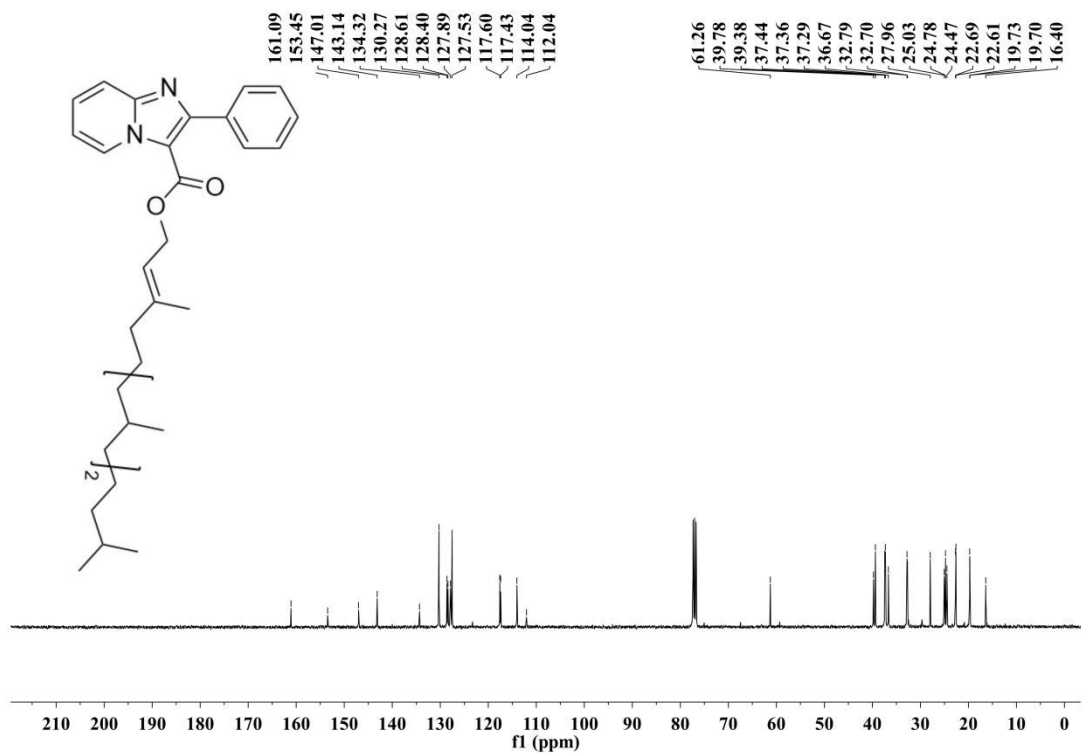
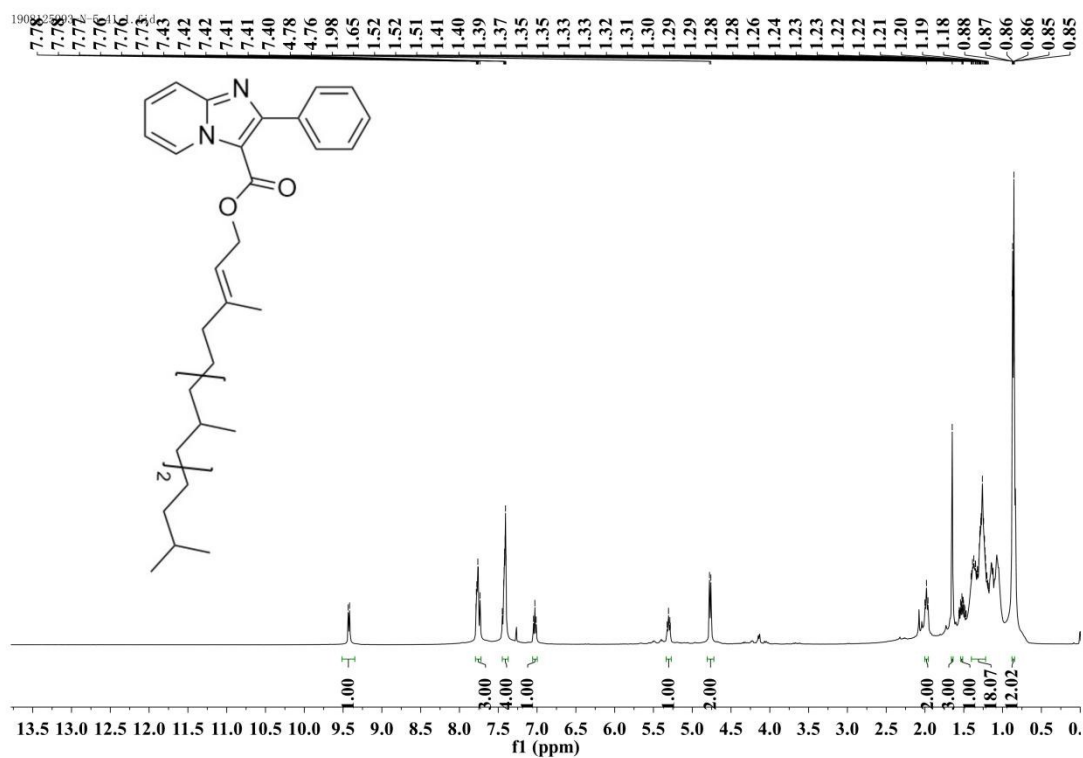
9H-Fluoren-9-one (5q)



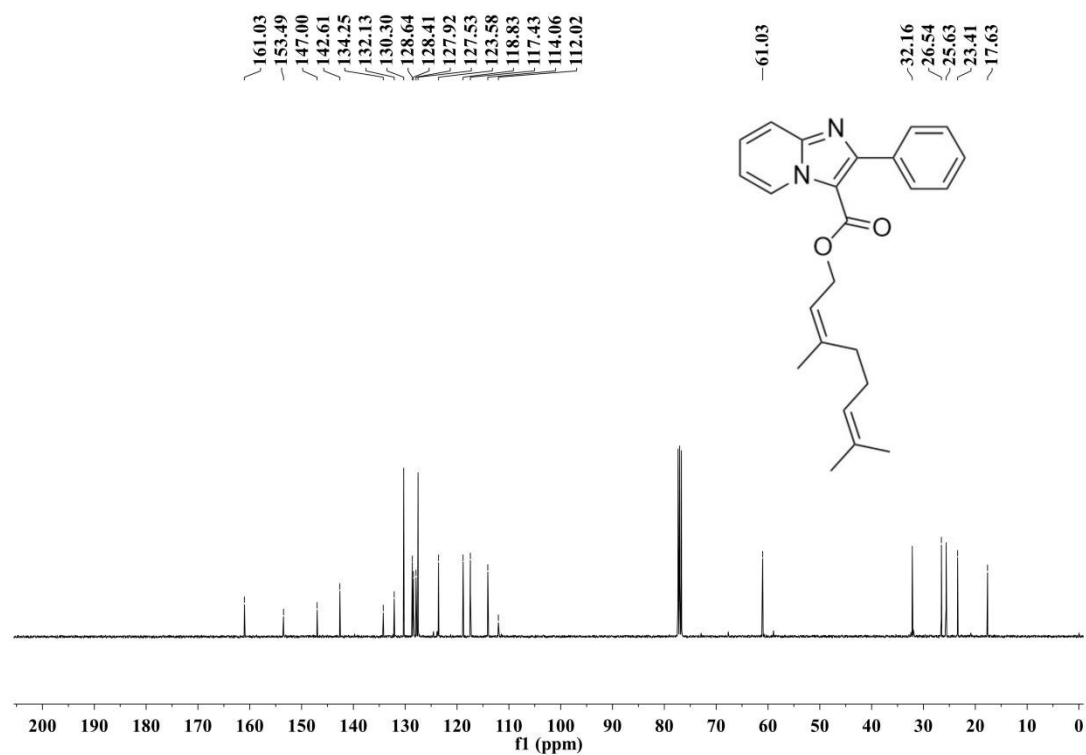
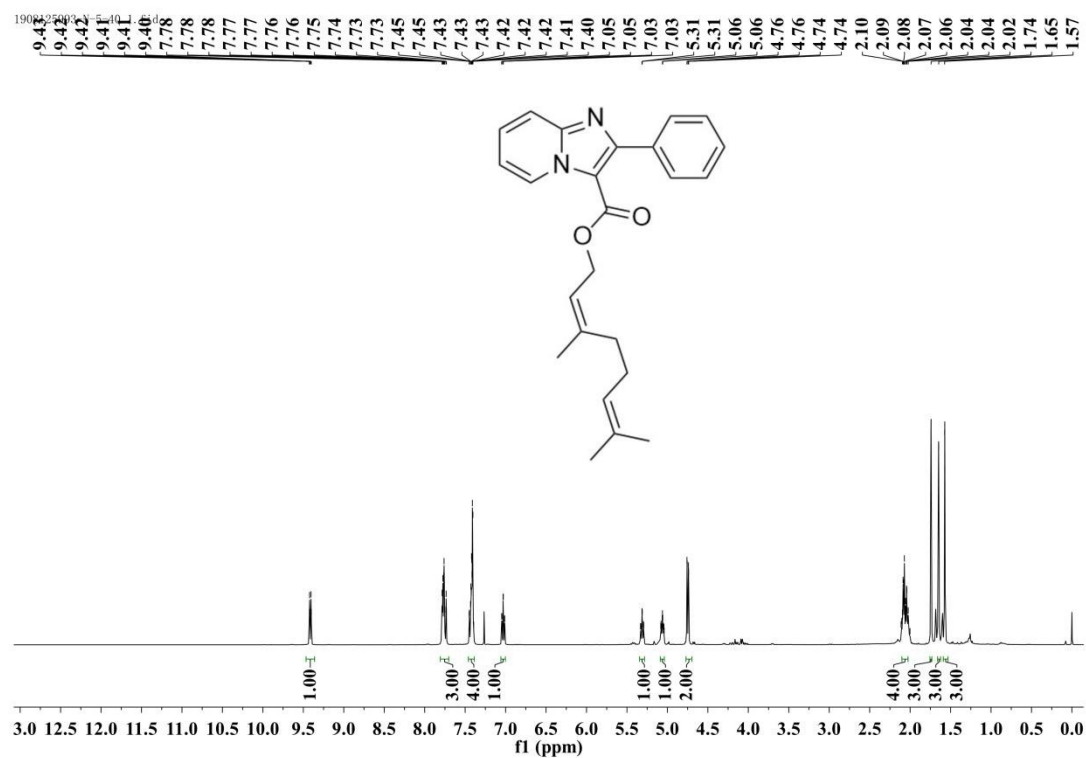
(Z)-Hex-3-en-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4r)



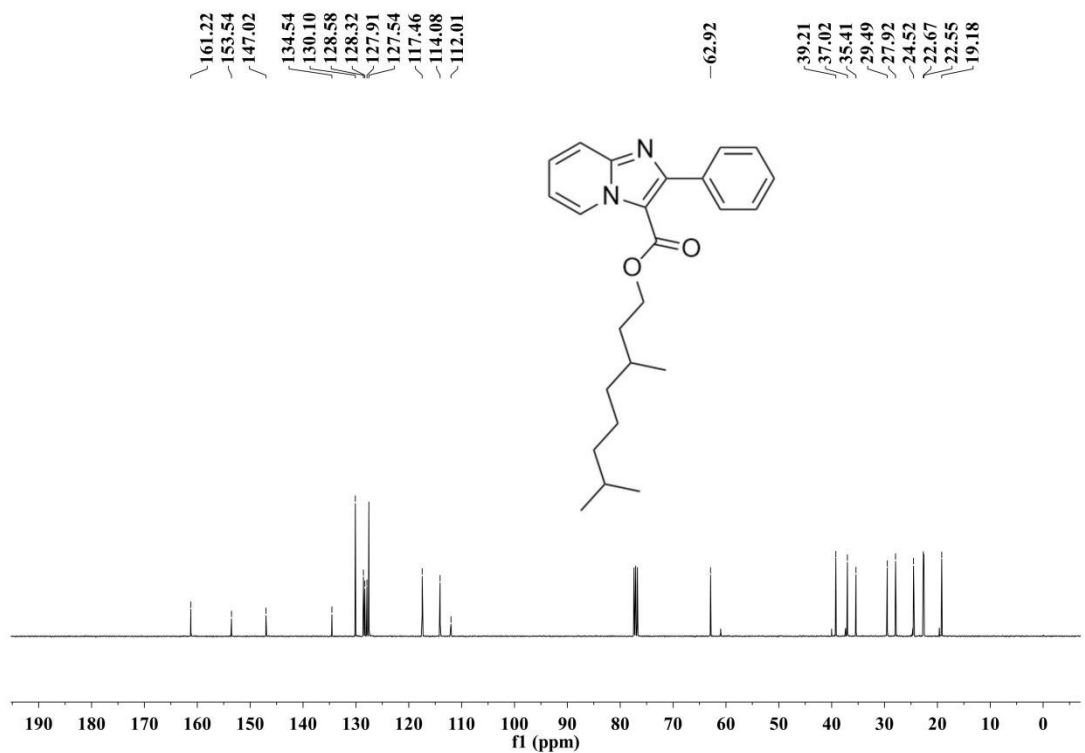
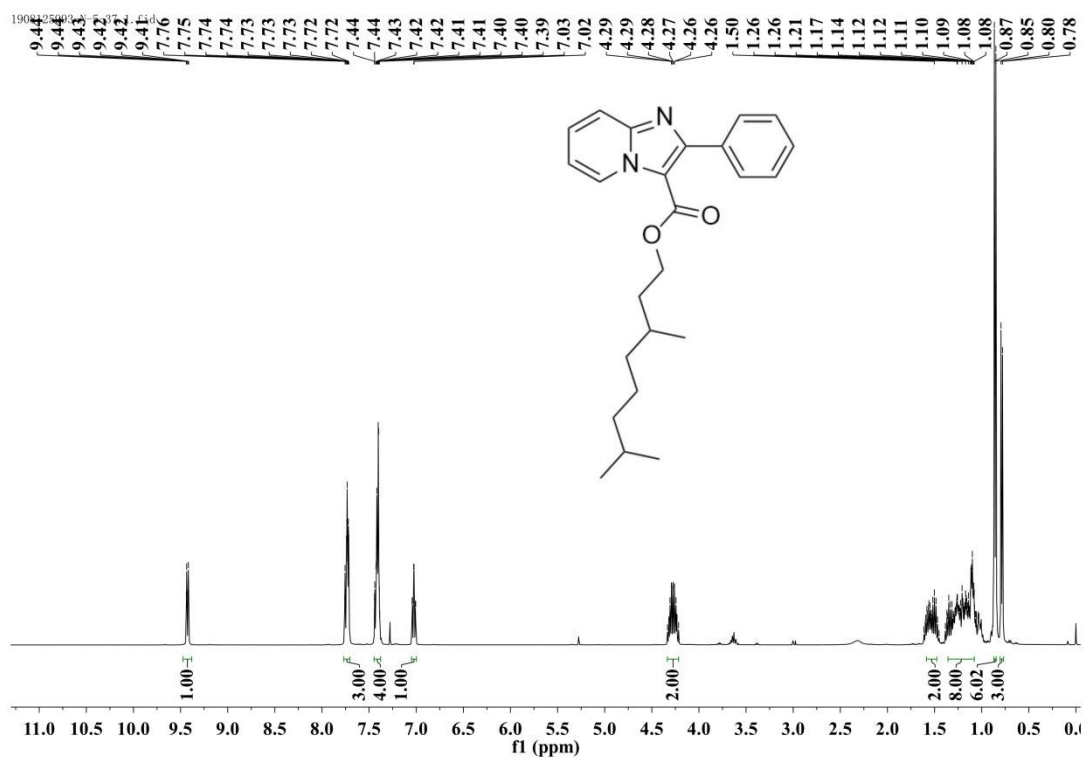
(*R,E*)-3,7,11-Trimethyldodec-2-en-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4s)



(E)-3,7-Dimethylocta-2,6-dien-1-yl 2-phenylimidazo[1,2-*a*]pyridine-3-carboxylate (4t)



(R)-3,7-Dimethyloctyl 2-phenylimidazo[1,2-a]pyridine-3-carboxylate (4u)



Saripidem

