Supporting Information

A novel and one-pot method for the synthesis of substituted furopyridines: I₂-mediated oxidative reaction of enamines via tandem cyclization under metal-free conditions

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Table of Contents

Table 2. Optimization of Reaction Condition S 3
Experimental Section S 4-19
NMR spectra S 20-103
General remark

$^1$H NMR and $^{13}$C NMR spectra were recorded on 400MHz and 100MHz in CDCl$_3$. All chemical shifts are given as δ value (ppm) with reference to tetramethylsilane (TMS) as an internal standard. All compounds were further characterized by HRMS; copies of their $^1$H NMR and $^{13}$C NMR spectra are provided. Products were purified by flash chromatography on 100–200 mesh silica gels. All melting points were determined without correction. Unless otherwise noted, commercially available reagents and solvents were used without further purification.
Table 2. Optimization of Reaction Condition

![Chemical structure diagram]

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<sup>a</sup> Reaction conditions: 2a (0.3 mmol), acid (0.3 mmol), solvent (2 mL), 120 °C. <sup>b</sup> Yields of isolated products.
Experimental Section

The substrate 1 was prepared according to following literatures1-3:

A mixture of 1-phenyl-1,3-butanedione 1a (6.0 mmol), NH₄OAc (30.0 mmol) and MeOH (10 mL) was heated at 70 °C for 2 h. The mixture was cooled to room temperature. The solid was filtered and washed with water then MeOH to give the title product as a white solid.


Characterization data of 1.

(Z)-3-amino-1-phenylbut-2-en-1-one(1a)

1H NMR (400 MHz, CDCl₃, ppm): δ = 10.13 (s, 1 H), 7.81-7.78 (m, 2 H), 7.37-7.31 (m, 3 H), 5.66 (s, 1 H), 5.22 (s, 1 H), 1.97 (s, 3 H); 13C NMR (100 MHz, CDCl₃, ppm): δ = 189.48, 162.97, 140.17, 130.77, 128.17, 127.06, 92.28, 22.83. HRMS calcd for C₁₀H₁₂NO [M+H]⁺ 162.0913; found: 162.0911

(Z)-3-amino-1-(p-tolyl)but-2-en-1-one(1b)

1H NMR (400 MHz, CDCl₃, ppm): δ = 10.18 (s, 1 H), 7.80-7.78 (d, J = 8.0 Hz , 2 H), 7.23-7.21 (d, J = 8.0 Hz , 2 H), 5.73 (s, 1 H), 5.28 (s, 1 H), 2.39 (s, 3 H), 2.04 (s, 3 H); 13C NMR (100 MHz, CDCl₃, ppm): δ = 189.28, 162.58, 141.10, 137.46, 128.86, 127.11, 92.08, 22.81,
21.38. HRMS calcd for C_{11}H_{14}NO [M+H]^+ 176.1069; found: 176.1065.

(Z)-3-amino-1-(4-methoxyphenyl)but-2-en-1-one(1c)

^1^H NMR (400 MHz, CDCl\textsubscript{3}, ppm): δ = 10.11 (s, 1 H), 7.87-7.85 (d, J = 8.0 Hz, 2 H), 6.91-6.89 (d, J = 8.0 Hz, 2 H), 5.69 (s, 1 H), 5.29 (s, 1 H), 3.83 (s, 3 H), 2.02 (s, 3 H); ^1^C NMR (100 MHz, CDCl\textsubscript{3}, ppm): δ = 188.48, 162.31, 161.78, 132.84, 128.91, 113.34, 91.71, 55.24, 22.77. HRMS calcd for C_{11}H_{14}NO\textsubscript{2} [M+H]^+ 192.1018; found: 191.1016.

(Z)-3-amino-1-(2-methoxyphenyl)but-2-en-1-one(1d)

^1^H NMR (400 MHz, CDCl\textsubscript{3}, ppm): δ = 10.02 (s, 1 H), 7.51-7.48 (m, 1 H), 7.28-7.24 (m, 1 H), 6.91-6.83 (m, 2 H), 5.54 (s, 1 H), 5.21 (s, 1 H), 3.79 (s, 3 H), 1.92 (d, J = 1.2 Hz, 3 H); ^1^C NMR (100 MHz, CDCl\textsubscript{3}, ppm): δ = 190.43, 162.12, 162.03, 156.92, 131.33, 130.86, 129.56, 120.41, 111.42, 97.06, 97.05, 55.64, 22.67. HRMS calcd for C_{11}H_{14}NO\textsubscript{2} [M+H]^+ 192.1018; found: 192.1016.

(Z)-3-amino-1-(4-ethoxyphenyl)but-2-en-1-one(1e)

^1^H NMR (400 MHz, CDCl\textsubscript{3}, ppm): δ = 10.10 (s, 1 H), 7.85–7.83 (d, J = 8.0 Hz, 2 H), 6.89-6.87 (d, J = 8.0 Hz, 2 H), 5.68 (s, 1 H), 5.27 (s, 1 H), 4.08–4.03 (m, 2 H), 2.01 (s, 3 H), 1.42-1.39 (m, 3 H); ^1^C NMR (100 MHz, CDCl\textsubscript{3}, ppm): δ = 188.53, 162.22, 161.20, 132.64, 128.91, 113.82, 91.71, 63.45, 22.78, 14.68. HRMS calcd for C_{12}H_{16}NO\textsubscript{2} [M+H]^+ 206.1175;
found: 206.1179.

(Z)-1-([1,1′-biphenyl]-4-yl)-3-aminobut-2-en-1-one (1f)

$^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 10.25$ (brs, 1 H), 7.97-7.95 (d, $J = 8.0$ Hz, 2 H), 7.65-7.62 (m, 3 H), 7.47-7.45 (d, $J = 8.0$ Hz, 2 H), 7.39-7.35 (m, 1 H), 5.79 (s, 1 H), 5.24 (brs, 1 H), 2.08 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 188.99$, 162.91, 143.52, 140.45, 138.90, 128.80, 127.69, 127.65, 127.18, 126.91, 92.35, 22.93. HRMS calcd for C$_{16}$H$_{16}$NO [M+H]$^+$ 238.1226; found: 238.1223.

(Z)-3-amino-1-(4-fluorophenyl)but-2-en-1-one (1g)

$^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 10.17$ (brs, 1 H), 7.89-7.86 (m, 2 H), 7.09-7.04 (m, 2 H), 5.67 (s, 1 H), 5.21 (brs, 1 H), 2.05 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 188.03$, 165.74-163.25 (d, $J = 249$ Hz, 1 C), 162.98, 136.40-136.37 (d, $J = 3$ Hz, 1 C), 129.38-129.29 (d, $J = 9$ Hz, 2 C), 115.19-114.97 (d, $J = 22$ Hz, 2 C), 91.94, 22.89. HRMS calcd for C$_{10}$H$_{11}$FNO [M+H]$^+$ 180.0818; found: 180.0814.

(Z)-3-amino-1-(4-chlorophenyl)but-2-en-1-one (1h)

$^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 10.21$ (brs, 1 H), 7.82-7.80 (d, $J = 8.0$ Hz, 2 H), 7.38-7.36 (d, $J = 8.0$ Hz, 2 H), 5.68 (s, 1 H), 5.30 (brs, 1 H), 2.06 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 187.98$, 163.38, 138.52, 136.91, 128.54, 128.43, 92.01, 22.92. HRMS calcd
for C_{10}H_{11}ClNO [M+H]^+ 196.0523; found: 196.0521.

(Z)-3-amino-1-(4-bromophenyl)but-2-en-1-one (1i)

\(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 10.21\) (brs, 1 H), 7.74-7.72 (d, \(J = 8.0\) Hz, 2 H), 7.54-7.52 (d, \(J = 8.0\) Hz, 2 H), 5.67 (s, 1 H), 5.34 (brs, 1 H), 2.05 (s, 3 H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), ppm): \(\delta = 188.00, 163.42, 138.95, 131.36, 128.71, 125.41, 91.93, 22.84\). HRMS calcd for C_{10}H_{11}BrNO [M+H]^+ 240.0018; found: 240.0015.

(Z)-3-amino-1-(3,4-dimethylphenyl)but-2-en-1-one (1j)

\(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 10.15\) (brs, 1 H), 7.66 (s, 1 H), 7.62-7.59(m, 1 H), 7.17 -7.15 (d, \(J = 8.0\) Hz, 1 H), 5.72 (s, 1 H), 5.21 (brs, 1 H) 2.30 (s, 3 H), 2.29 (s, 3 H), 2.04 (s, 3 H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), ppm): \(\delta = 189.58, 162.43, 139.83, 137.87, 136.34, 129.44, 128.34, 124.64, 92.19, 22.82, 19.77, 19.75\). HRMS calcd for C_{12}H_{16}NO [M+H]^+ 190.1226; found: 190.1221.

(Z)-3-amino-1-(3,4-dimethoxyphenyl)but-2-en-1-one (1k)

\(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 10.12\) (brs, 1 H), 7.51-7.506 (d, \(J = 2.0\) Hz, 1 H), 7.48- 7.45 (m, 1 H), 6.86-6.84 (d, \(J = 8.0\) Hz, 1 H), 5.70 (s, 1 H), 5.16 (brs, 1 H), 3.94 (s, 3 H), 3.91 (s, 3 H), 2.04 (s, 3 H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), ppm): \(\delta = 188.45, 162.26, 151.42, 148.73, 133.09, 120.51, 110.05, 109.98, 91.76, 55.91, 55.86, 22.89\). HRMS calcd for C_{12}H_{16}NO\(_3\) [M+H]^+ 222.1124; found: 222.1123.
(Z)-3-amino-1-(3,4-dichlorophenyl)but-2-en-1-one (1l)

$^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 10.16$ (brs, 1 H), 7.89-7.88 (d, $J = 4.0$ Hz, 1 H), 7.62-7.61 (d, $J = 4.0$ Hz, 1 H), 7.42-7.40 (d, $J = 8.0$ Hz, 1 H), 5.58 (s, 1 H), 5.35 (brs, 1 H), 2.01 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 186.34, 164.00, 139.96, 134.85, 132.55, 130.21, 129.18, 126.24, 91.79, 22.85$. HRMS calcd for C$_{10}$H$_{10}$Cl$_2$NO [M+H]$^+$ 230.0133; found: 230.0137.

(Z)-3-amino-1-(naphthalen-1-yl)but-2-en-1-one (1m)

$^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 10.30$ (brs, 1 H), 8.40 (s, 1 H), 8.02-8.00 (m, 1 H), 7.96-7.93 (m, 1 H), 7.89-7.85 (m, 2 H), 7.532-7.525 (d, $J = 2.8$ Hz, 2 H), 5.91 (s, 1 H), 5.24 (brs, 1 H), 2.12 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 189.34, 162.84, 137.48, 134.65, 132.85, 129.19, 127.89, 127.62, 127.51, 127.18, 126.21, 124.18, 92.57, 22.95$. HRMS calcd for C$_{10}$H$_{14}$NO [M+H]$^+$ 212.1069; found: 212.1066.

(Z)-4-amino-6-methylhept-3-en-2-one (1n)

$^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 9.70$ (brs, 1 H), 5.40 (brs, 1 H), 4.93 (s, 1 H), 2.06-2.05 (d, $J = 4.0$ Hz, 1 H), 1.96-1.95 (m, 1 H), 1.91-1.80 (m, 3 H), 0.88–0.83 (s, 6 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 196.65, 164.12, 95.91, 95.76, 51.68, 45.73, 29.26, 27.73, 22.28$. HRMS calcd for C$_8$H$_{16}$NO [M+H]$^+$ 142.1226; found: 142.1225.
(Z)-4-amino-3-phenylpent-3-en-2-one (1o)

$^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 10.54$ (brs, 1 H), 7.36-7.32 (m, 2 H), 7.29-7.25 (m, 1 H), 7.18-7.16 (m, 2 H), 5.15 (brs, 1 H), 1.84 (s, 3 H), 1.70 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 196.76$, 159.52, 140.45, 131.85, 128.45, 126.58, 110.14, 29.28, 22.15. HRMS calcd for C$_{10}$H$_{14}$NO [M+H]$^+$ 176.1069; found: 176.1065.

(Z)-4-aminopent-3-en-2-one (1q)

$^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 9.63$ (brs, 1 H), 5.21 (brs, 1 H), 4.95 (s, 1 H), 1.95 (s, 3 H), 1.84 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 196.53$, 161.12, 95.57, 29.08, 22.09. HRMS calcd for C$_5$H$_{10}$NO [M+H]$^+$ 100.0756; found: 100.0754.

General procedure for the synthesis of the desired furaopyridines 2.

An oven-dried tube was charged with 1 (0.3 mmol), I$_2$ (0.36 mmol) and 2 mL CH$_3$CN. Then the reaction was stirred at 80 °C under air and the reaction time was monitored by TLC. After cooling to room temperature, the solvent was diluted with 20 ml of CH$_2$Cl$_2$ and washed with 10 ml of saturated solution of NaHCO$_3$, 10 ml of saturated solution of Na$_2$S$_2$O$_3$ successively, and dried over anhydrous Na$_2$SO$_4$. Then the solvent was evaporated in vacuo, the residues were purified by column chromatography, eluting with petroleum ether/EtOAc to afford the desired substituted furaopyridines.

General procedure for the synthesis of the desired furaopyridines 3.
An oven-dried tube was charged with 2 (0.3 mmol), NIS (0.6 mmol), (0.3 mmol) TsOH and 2 mL DMSO. Then the reaction was stirred at 120 °C under air and the reaction time was monitored by TLC. After cooling to room temperature, the solvent was diluted with 30 ml of CH₂Cl₂, washed with 15 ml H₂O, and dried over anhydrous Na₂SO₄. Then the solvent was evaporated in vacuo, the residues were purified by column chromatography, eluting with petroleum ether/EtOAc to afford the desired products.

7-methyl-2,5-diphenylfuro[3,2-b]pyridine (2a)
Yellow solid, melting point: 133-135 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.00 (d, J = 7.2 Hz, 2 H), 7.91 (d, J = 7.2 Hz, 2 H), 7.47-7.44(m, 5 H), 7.39 (d, J = 3.2 Hz, 2 H), 2.63 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 159.32, 154.56, 148.32, 147.26, 140.10, 129.99, 129.72, 129.32, 128.85, 128.64, 128.31, 127.17, 125.20, 118.31, 102.82, 14.95. HRMS calcd for C₂₀H₁₆NO [M+H]⁺ 286.1226; found: 286.1233.

7-methyl-2,5-dip-tolylfuro[3,2-b]pyridine (2b)
Yellow solid, melting point: 166-168 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 7.89 (d, J = 8.0 Hz, 2 H), 7.80 (d, J = 8.0 Hz, 2 H), 7.39 (s, 1 H), 7.27-7.23 (m, 4 H), 7.17(s, 1 H), 2.59 (s, 3 H), 2.39 (s, 6 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 159.47, 154.36, 148.32, 146.98, 139.43, 138.10, 137.27, 129.51, 129.49, 129.33, 127.27, 126.97, 125.09, 117.80, 102.03, 21.42, 21.19, 14.92. HRMS calcd for C₂₂H₂₀NO [M+H]⁺ 314.1539, found: 314.1543.
**2,5-bis(4-methoxyphenyl)-7-methylfuro[3,2-b]pyridine (2c)**

Yellow solid, melting point: 169-171 °C. \(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 7.94\) (d, \(J = 8.8\) Hz, 2 H), 7.84 (d, \(J = 8.8\) Hz, 2 H), 7.35 (s, 1 H), 7.09 (s, 1 H), 7.01-6.98 (m, 4 H), 3.87 (s, 3 H), 2.60 (s, 3 H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), ppm): \(\delta = 160.54, 159.93, 159.36, 154.05, 148.52, 146.76, 132.89, 129.31, 128.32, 126.72, 122.89, 117.23, 114.31, 114.00, 101.17, 55.38, 55.33, 14.94\). HRMS calcd for C\(_{22}\)H\(_{20}\)NO\(_3\) [M+H]\(^+\) 346.1437; found: 346.1440.

**2,5-bis(2-methoxyphenyl)-7-methylfuro[3,2-b]pyridine (2d)**

Yellow oil. \(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 8.11-8.09\) (m, 1 H), 7.74-7.72 (m, 1 H), 7.60 (s, 1 H), 7.47 (s, 1 H), 7.38-7.33 (m, 2 H), 7.11-7.06 (m, 2 H), 7.02-6.99 (m, 2 H), 3.98 (s, 3 H), 3.85 (s, 3 H), 2.62 (s, 3 H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), ppm): \(\delta = 156.83, 156.68, 155.07, 152.64, 148.49, 145.74, 131.41, 130.06, 129.95, 129.28, 128.21, 126.71, 122.07, 120.92, 120.60, 119.00, 111.25, 111.12, 107.88, 55.63, 55.45, 14.95\). HRMS calcd for C\(_{22}\)H\(_{20}\)NO\(_3\) [M+H]\(^+\) 346.1437; found: 346.1434.

**2,5-bis(4-ethoxyphenyl)-7-methylfuro[3,2-b]pyridine (2e)**

Yellow solid, melting point: 169-171 °C. \(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 7.92\) (d, \(J = 8.8\) Hz, 2 H), 7.82 (d, \(J = 8.8\) Hz, 2 H), 7.34 (s, 1 H), 7.07 (s, 1 H), 6.99-6.96 (m, 4 H), 4.11-4.05 (m, 4 H), 2.59 (s, 3 H), 1.46-1.42 (m, 6 H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), ppm): \(\delta = \)
159.90, 159.39, 159.27, 154.05, 148.50, 146.69, 132.69, 129.26, 128.28, 126.68, 122.67, 117.16, 114.77, 114.53, 101.04, 63.57, 63.46, 14.92, 14.82, 14.74. HRMS calcd for C$_{24}$H$_{24}$NO$_3$ [M+H]$^+$ 374.1750; found: 374.1755.

2,5-di([1,1'-biphenyl]-4-yl)-7-methylfuro[3,2-b]pyridine (2f)

Yellow solid, melting point: 201-202 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): δ = 8.09-8.07 (m, 2 H), 7.99-7.96 (m, 2 H), 7.72-7.63 (m, 8 H), 7.49-7.44 (m, 5 H), 7.40-7.34 (m, 2 H), 7.29 (s, 1 H), 2.65 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): δ = 159.16, 154.10, 148.43, 147.40, 142.06, 141.08, 140.72, 140.27, 138.99, 129.74, 128.93, 128.88, 128.81, 127.77, 127.54, 127.40, 127.38, 127.09, 127.03, 125.65, 118.25, 102.89, 15.02. HRMS calcd for C$_{32}$H$_{24}$NO [M+H]$^+$ 438.1852; found: 438.1857.

2,5-bis(4-fluorophenyl)-7-methylfuro[3,2-b]pyridine (2g)

Yellow solid, melting point: 157-159 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): δ = 7.99-7.95 (m, 2 H), 7.91-7.88 (m, 2 H), 7.40 (s, 1 H), 7.20-7.13 (m, 5 H), 2.63 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): δ = 164.66-162.17 (d, $J$ = 249 Hz, 1 C), 164.46-162.00 (d, $J$ = 246 Hz, 1 C), 158.60, 153.64, 148.30, 147.19, 136.20-136.17 (d, $J$ = 3 Hz, 1 C), 129.88, 128.95-128.86 (d, $J$ = 9 Hz, 2 C), 127.23-127.15 (d, $J$ = 8 Hz, 2 C), 126.31-126.28 (d, $J$ = 3 Hz, 1 C), 118.03, 116.19-115.97 (d, $J$ = 22 Hz, 2 C), 115.65-115.43 (d, $J$ = 22 Hz, 2 C), 102.48, 14.95. HRMS calcd for C$_{20}$H$_{14}$F$_2$NO [M+H]$^+$ 322.1037; found: 322.1040.
2,5-bis(3,4-dichlorophenyl)-7-methylfuro[3,2-b]pyridine (2h)

Yellow solid, melting point: 204-206 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 7.94$ (d, $J = 8.8$ Hz, 2 H), 7.84 (d, $J = 8.4$ Hz, 2 H), 7.46-7.43 (m, 5 H), 7.22 (s, 1 H), 2.63 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 158.45, 153.42, 148.20, 147.41, 138.34, 135.39, 134.59, 130.04, 129.20, 128.84, 128.43, 128.39, 126.46, 118.28, 103.12, 14.97$. HRMS calcd for C$_{20}$H$_{14}$Cl$_2$NO [M+H]$^+$ 354.0446; found: 354.0449.

![2,5-bis(3,4-dichlorophenyl)-7-methylfuro[3,2-b]pyridine](image)

2,5-bis(4-bromophenyl)-7-methylfuro[3,2-b]pyridine(2i)

Yellow oil. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 7.87$ (d, $J = 8.4$ Hz, 2 H), 7.77 (d, $J = 8.8$ Hz, 2 H), 7.62-7.58 (m, 4 H), 7.43 (s, 1 H), 7.22 (s, 1 H), 2.63 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 158.40, 153.45, 148.20, 147.40, 138.81, 132.11, 131.77, 130.01, 128.77, 128.70, 126.63, 123.59, 122.84, 118.27, 103.18, 14.98$. HRMS calcd for C$_{20}$H$_{14}$Br$_2$NO [M+H]$^+$ 441.9436; found: 441.9439.

![2,5-bis(4-bromophenyl)-7-methylfuro[3,2-b]pyridine](image)

2,5-bis(3,4-dimethylphenyl)-7-methylfuro[3,2-b]pyridine (2j)

Yellow oil. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 7.81$ (s, 1 H), 7.70-7.63 (m, 3 H), 7.40 (s, 1 H), 7.22 (d, $J = 5.6$ Hz, 2 H), 7.17 (s, 1 H), 2.62 (s, 3 H), 2.36 (s, 3 H), 2.35 (s, 3 H), 2.31 (s, 6 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 159.58, 154.52, 148.36, 146.96, 138.19, 137.75, 137.11, 136.78, 130.08, 129.89, 129.40, 128.29, 128.10, 127.67, 126.22, 124.48, 122.72, 117.81, 101.97, 19.90, 19.85, 19.77, 19.54 14.96$. HRMS calcd for C$_{24}$H$_{24}$NO [M+H]$^+$ 342.1852; found: 342.1856.
2,5-bis(3,4-dimethoxyphenyl)-7-methylfuro[3,2-b]pyridine (2k)

Yellow oil. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 7.66$ (d, $J = 7$ Hz, 1 H), 7.51-7.49 (m, 2 H), 7.38 (d, $J = 2$ Hz, 2 H), 7.11 (s, 1 H), 6.97-6.94 (m, 2 H), 4.03 (s, 3 H), 4.00 (s, 3 H), 3.95 (s, 3 H), 3.94 (s, 3 H), 2.62 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 159.30$, 153.96, 150.17, 149.39, 149.19, 149.10, 148.34, 146.81, 133.08, 129.35, 122.96, 119.43, 118.43, 117.37, 111.29, 110.98, 110.22, 108.10, 101.41, 56.01, 55.95, 55.92, 55.90, 14.96. HRMS calcd for C$_{24}$H$_{24}$NO$_5$ [M+H]$^+$ 406.1648; found: 406.1660.

2,5-bis(3,4-dichlorophenyl)-7-methylfuro[3,2-b]pyridine (2l)

Yellow solid, melting point: 204-206 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 8.12$ (d, $J = 2.4$ Hz, 1 H), 7.97 (d, $J = 2$ Hz, 1 H), 7.84-7.81 (m, 1 H), 7.55-7.51 (m, 2 H), 7.43 (s, 1 H), 7.22 (s, 1 H), 2.64 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 157.22$, 152.29, 148.05, 147.69, 139.73, 133.54, 133.44, 132.98, 132.70, 131.01, 130.61, 130.37, 129.71, 129.01, 126.84, 126.22, 124.37, 118.55, 104.00, 15.02. HRMS calcd for C$_{20}$H$_{12}$Cl$_4$NO [M+H]$^+$ 421.9667; found: 421.9669.

7-methyl-2,5-di(naphthalen-1-yl)furo[3,2-b]pyridine (2m)

Yellow solid, melting point: 201-203 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 8.46$ (s, 1 H), 8.38 (s, 1 H), 8.18-8.16 (m, 1 H), 7.96-7.82 (m, 7 H), 7.57 (s, 1 H), 7.52-7.48 (m, 4 H), 7.37 (s, 1 H), 2.67 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 159.40$, 154.35, 148.46,
2,5-diisopropyl-7-methylfuro[3,2-b]pyridine (2n)

Yellow oil. \(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 6.79\) (s, 1 H), 6.59 (s, 1H), 2.70-2.66 (m, 4 H), 2.48 (s, 3 H), 2.15-2.08 (m, 2 H), 1.01 (s, 3 H), 1.00 (s, 3 H), 0.95 (s, 3 H), 0.94 (s, 3 H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), ppm): \(\delta = 162.92, 156.56, 147.05, 146.18, 129.44, 119.59, 103.96, 46.90, 38.02, 29.69, 27.63, 22.40, 22.43, 14.75\). HRMS calcd for C\(_{16}\)H\(_{24}\)NO [M+H]\(^+\) 246.1852; found: 246.1855.

2,5,7-trimethyl-3,6-diphenylfuro[3,2-b]pyridine (2o)

Yellow oil. \(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 7.80-7.77\) (s, 2 H), 7.51-7.44 (s, 4 H), 7.40-7.34 (m, 2 H), 7.21-7.19 (m, 2 H), 2.64 (s, 3 H), 2.35 (s, 3 H), 2.22 (s, 3 H); \(^{13}\)C NMR (100 MHz, CDCl\(_3\), ppm): \(\delta = 154.81, 152.31, 145.60, 144.84, 139.02, 132.29, 131.60, 131.06, 129.59, 129.10, 128.58, 127.20, 127.16, 126.95, 117.12, 24.41, 13.87, 12.71\). HRMS calcd for C\(_{22}\)H\(_{20}\)NO [M+H]\(^+\) 314.1539; found: 314.1531.

6-iodo-2,5,7-trimethylfuro[3,2-b]pyridine (2q)

Yellow oil. \(^1\)H NMR (400 MHz, CDCl\(_3\), ppm): \(\delta = 6.89\) (s, 1 H), 2.63 (s, 3 H), 2.58 (s, 3 H), 147.46, 137.36, 133.62, 133.57, 133.34, 133.26, 129.76, 128.65, 128.61, 128.53, 128.30, 127.83, 127.63, 127.21, 126.84, 126.75, 126.19, 126.15, 125.11, 124.31, 122.76, 118.65, 103.34, 103.31, 15.00. HRMS calcd for C\(_{28}\)H\(_{20}\)NO [M+H]\(^+\) 386.1539; found: 386.1554.
2.46 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 158.74, 154.83, 147.18, 145.59, 129.45, 120.77, 65.52, 24.25, 14.55, 14.24$. HRMS calcd for C$_{10}$H$_{11}$NO [M+H]$^+$ 287.9879; found: 287.9884.

$\text{(Z)-3-amino-1-phenylpent-2-ene-1,4-dione (2t)}$

Yellow solid, melting point: 75-78 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 7.94-7.91$ (m, 2 H), 7.52-7.44 (m, 3 H), 6.48 (s, 1 H), 2.53 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 195.79, 192.32, 151.13, 139.33, 131.90, 128.50, 127.26, 93.94, 24.97$. HRMS calcd for C$_{11}$H$_{12}$NO$_2$[M+H]$^+$ 190.0862; found: 190.0865

$\text{3-iodo-7-methyl-2,5-diphenylfuro}[3,2-b]\text{pyridine (3a)}$

Yellow solid, melting point: 188-200 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 8.26$ (d, $J$ = 7.2Hz, 2 H), 8.11 (d, $J$ = 7.2Hz, 2 H), 7.56-7.46 (m, 6 H), 7.42-7.38 (m, 1 H), 2.64 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 155.95, 154.75, 148.56, 146.15, 139.54, 130.16, 129.85, 129.79, 128.76, 128.58, 127.62, 127.26, 119.34, 65.15, 14.65$. HRMS calcd for C$_{20}$H$_{15}$INO [M+H]$^+$ 412.0192; found: 412.0198.

$\text{3-iodo-7-methyl-2,5-di-p-tolylfuro}[3,2-b]\text{pyridine (3b)}$

Yellow solid, melting point: 185-189 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 8.14$ (d, $J$ = 8.0 Hz, 2 H), 8.00 (d, $J$ = 8.0 Hz, 2 H), 7.51 (d, $J$ = 0.4 Hz, 1 H), 7.32 (d, $J$ = 8.0 Hz, 2 H),
7.29-7.25 (m, 2 H), 2.61 (s, 3 H), 2.44 (s, 3 H), 2.41 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 156.13$, 154.66, 148.54, 145.92, 140.01, 138.41, 136.81, 129.91, 129.36, 129.26, 127.54, 127.09, 118.84, 64.40, 21.52, 21.25, 14.62. HRMS calcd for C$_{22}$H$_{19}$INO [M+H]$^+$ 440.0505; found: 440.0508.

3-iodo-2,5-bis(4-methoxyphenyl)-7-methylfuro[3,2-b]pyridine(3c)

Yellow solid, melting point: 179-180 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 8.19$ (d, $J = 8.8$ Hz, 2 H), 8.05 (d, $J = 8.4$ Hz, 2 H), 7.44 (s, 1 H), 7.03-6.98 (m, 4 H), 3.88 (s, 3 H), 3.86 (s, 3 H), 2.59 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 160.71$, 160.13, 155.91, 154.20, 148.54, 145.60, 132.33, 129.71, 129.14, 128.40, 122.48, 118.20, 114.00, 113.97, 63.34, 55.38, 55.33, 14.60. HRMS calcd for C$_{22}$H$_{19}$INO$_3$ [M+H]$^+$ 508.0403; found: 508.0399.

2,5-bis(3,4-dimethylphenyl)-3-iodo-7-methylfuro[3,2-b]pyridine(3d)

Yellow solid, melting point: 165-169 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 7.98$ (d, $J = 6.4$ Hz, 2 H), 7.88 (s, 1 H), 7.80 (d, $J = 8.0$ Hz, 1 H), 7.48 (s, 1 H), 7.26-7.20 (m, 2 H), 2.60 (s, 3 H), 2.36 (s, 6 H), 2.32 (s, 3 H), 2.31 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 156.10$, 154.70, 148.45, 145.82, 138.69, 137.19, 137.02, 136.82, 136.71, 129.88, 129.77, 129.74, 128.48, 128.34, 127.41, 125.19, 124.57, 118.79, 64.27, 19.97, 19.90, 19.82, 19.58, 14.63. HRMS calcd for C$_{24}$H$_{23}$INO$_3$ [M+H]$^+$ 468.0818; found: 468.0822.

2,5-bis(4-fluorophenyl)-3-iodo-7-methylfuro[3,2-b]pyridine(3e)
Yellow solid, melting point: 210-212 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.24-8.22 (m, 2 H), 8.09-8.05 (m, 2 H), 7.48 (s, 1 H), 7.25-7.13 (m, 5 H), 2.62 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 164.73-162.23 (d, J = 250 Hz, 1 C), 164.61-162.15 (d, J = 246 Hz, 1 C), 155.24, 153.76, 148.48, 146.00, 135.62-135.59 (d, J = 3 Hz, 1 C), 130.25, 129.72-129.64 (d, J = 8 Hz, 2 C), 129.01-128.93 (d, J = 8 Hz, 2 C), 126.03-126.00 (d, J = 3 Hz, 1 C), 118.99, 115.88-115.65 (d, J = 23 Hz, 2 C), 115.65-115.43 (d, J = 22 Hz, 2 C), 64.81, 14.64. HRMS calcd for C₂₀H₁₃F₂INO [M+H]⁺ 448.0004; found: 448.0008.

2,5-bis(4-bromophenyl)-3-iodo-7-methylfuro[3,2-b]pyridine(3f)

Yellow solid, melting point: 208-210 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.15 (d, J = 8.4 Hz, 2 H), 7.98 (d, J = 8.4 Hz, 2 H), 7.66 (d, J = 8.4 Hz, 2 H), 7.60 (d, J = 8.4 Hz, 2 H), 7.54 (s, 1 H), 2.64 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 155.11, 153.65, 148.56, 146.26, 138.28, 131.87, 131.80, 130.44, 128.95, 128.79, 128.64, 124.25, 123.14, 119.24, 65.62, 14.65. HRMS calcd for C₂₀H₁₃Br₂INO [M+H]⁺ 567.8402; found: 567.8405.

3-iodo-7-methyl-2,5-di(naphthalen-1-yl)furo[3,2-b]pyridine(3g)

Yellow solid, melting point: 214-216 °C. ¹H NMR (400 MHz, CDCl₃, ppm): δ = 8.80 (s, 1 H), 8.55 (s, 1 H), 8.37-8.31 (m, 2 H), 8.01-7.95 (m, 4 H), 7.90-7.87 (m, 2 H), 7.73 (d, J = 0.4 Hz, 1 H), 7.58-7.48 (m, 4 H), 2.72 (s, 3 H); ¹³C NMR (100 MHz, CDCl₃, ppm): δ = 156.04, 154.62, 148.78, 146.35, 136.89, 133.68, 133.56, 133.52, 132.94, 130.24, 128.76, 128.69, 128.35, 128.29, 127.79, 127.71, 127.66, 127.30, 127.20, 126.74, 126.30, 126.15, 125.19, 124.40, 119.65, 65.56, 14.76. HRMS calcd for C₂₈H₁₉INO [M+H]⁺ 512.0505; found: 512.0509.
3-bromo-7-methyl-2,5-diphenylfuro[3,2-b]pyridine(3h)

Yellow solid, melting point: 207-209 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 8.25-8.23$ (m, 2 H), 8.09-8.07 (m, 2 H), 7.53-7.44 (m, 6 H), 7.41-7.38 (m, 1 H), 2.63 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 155.05$, 153.59, 146.05, 145.81, 139.56, 130.30, 129.67, 129.34, 128.67, 128.59, 127.29, 126.93, 119.37, 95.90, 14.65. HRMS calcd for C$_{20}$H$_{15}$BrNO [M+H]$^+$ 364.0331; found: 364.0335.

3-bromo-2,5-bis(4-fluorophenyl)-7-methylfuro[3,2-b]pyridine(3i)

Yellow solid, melting point: 178-180 °C. $^1$H NMR (400 MHz, CDCl$_3$, ppm): $\delta = 8.24-8.21$ (m, 2 H), 8.07-8.03 (m, 2 H), 7.47 (s, 1 H), 7.25-7.13 (m, 5 H), 2.62 (s, 3 H); $^{13}$C NMR (100 MHz, CDCl$_3$, ppm): $\delta = 164.64-162.14$ (d, $J = 250$ Hz, 1 C), 164.64-162.18 (d, $J = 246$ Hz, 1 C), 154.07, 152.89, 145.97, 145.67, 135.65-135.62 (d, $J = 3$ Hz, 1 C), 130.41, 129.06-128.97 (d, $J = 9$ Hz, 4 C), 125.55-125.51 (d, $J = 4$ Hz, 1 C), 119.04, 116.00-115.78 (d, $J = 22$ Hz, 2 C), 115.67-115.45 (d, $J = 22$ Hz, 2 C), 95.51, 14.64. HRMS calcd for C$_{20}$H$_{13}$BrF$_2$NO [M+H]$^+$ 400.0142; found: 400.0146.

Reference
