

Supplementary Data

Gold(I)-catalyzed synthesis of *N*-alkenyl 2-pyridonyl *sec*-amines

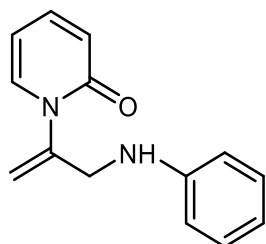
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General

All chemical reagents and solvents were purchased from Merck, Acros and Sigma-Aldrich and used without any purification. Solvents were dried with 3 Å molecular sieve activated and used analytical grade. Varian 400 MHz Mercury Magnet were used for ^1H , ^{19}F and ^{13}C NMR spectra. Abi-Sciex 4600 Triple-Quadropol TOF was used for HRMS results. Thin Layer chromatography (TLC) purchased from Merck was used to monitorize all reactions. Silica gel 60 purchased from Merck was used for column chromatography.



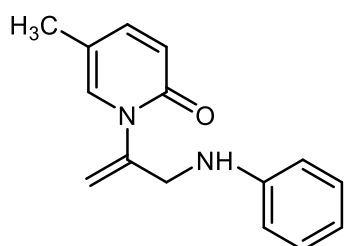
1-(3-(Phenylamino)prop-1-en-2-yl)pyridin-2(1H)-one (3a):

Yield: 98%

^1H NMR (400 MHz, CDCl_3) δ 7.34 (ddd, $J = 9.0, 6.6, 2.1$ Hz, 1H), 7.15 (t, $J = 8.0$ Hz, 2H), 7.04 (dd, $J = 6.8, 2.3$ Hz, 1H), 6.69 (t, $J = 7.3$ Hz, 1H), 6.62 (d, $J = 8.7$ Hz, 2H), 6.54 (d, $J = 9.2$ Hz, 1H), 6.09 (t, $J = 6.7$ Hz, 1H), 5.51 (s, 1H), 5.24 (s, 1H), 4.23 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.30, 148.77, 147.37, 140.40, 138.28, 129.31, 121.26, 117.80, 114.09, 112.94, 106.00, 45.95.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{14}\text{H}_{14}\text{N}_2\text{O} + \text{H}]^+$: 227.1179, found: 227.1177.



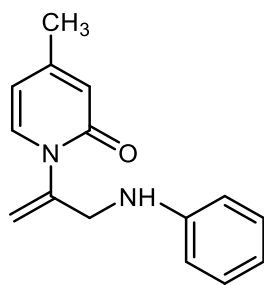
5-Methyl-1-(3-(phenylamino)prop-1-en-2-yl)pyridin-2(1H)-one (3b):

Yield: 92%

^1H NMR (400 MHz, CDCl_3) δ 7.20 (dd, $J = 9.3, 2.6$ Hz, 1H), 7.15 (t, $J = 8.0$ Hz, 2H), 6.81 (s, 1H), 6.69 (t, $J = 7.3$ Hz, 1H), 6.63 (d, $J = 8.6$ Hz, 2H), 6.49 (d, $J = 9.3$ Hz, 1H), 5.48 (s, 1H), 5.22 (s, 1H), 4.21 (s, 2H), 1.99 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 161.61, 148.76, 147.45, 143.14, 135.61, 129.27, 120.79, 117.78, 115.09, 113.88, 113.05, 46.09, 16.94.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{15}\text{H}_{16}\text{N}_2\text{O} + \text{H}]^+$: 241.1335, found: 241.1338.



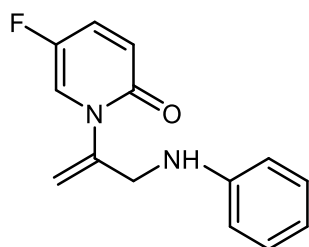
4-Methyl-1-(3-(phenylamino)prop-1-en-2-yl)pyridin-2(1H)-one (3c):

Yield: 75%

^1H NMR (400 MHz, CDCl_3) δ 7.14 (t, $J = 8.0$ Hz, 2H), 6.92 (d, $J = 6.9$ Hz, 1H), 6.69 (t, $J = 7.4$ Hz, 1H), 6.62 (d, $J = 7.6$ Hz, 2H), 6.34 (s, 1H), 5.94 (dd, $J = 6.9, 1.9$ Hz, 1H), 5.48 (s, 1H), 5.21 (s, 1H), 4.21 (s, 2H), 2.17 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.32, 152.28, 148.62, 147.43, 137.10, 129.31, 119.51, 117.77, 113.95, 112.98, 108.68, 46.12, 21.38.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{15}\text{H}_{16}\text{N}_2\text{O}+\text{H}]^+$: 241.1335, found: 241.1341.



5-Fluoro-1-(3-(phenylamino)prop-1-en-2-yl)pyridin-2(1H)-one (3d):

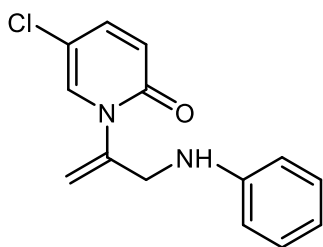
Yield: 41%

^1H NMR (400 MHz, CDCl_3) δ 7.30 (ddd, $J = 10.2, 6.8, 3.3$ Hz, 1H), 7.16 (t, $J = 8.0$ Hz, 2H), 6.99 (t, $J = 3.6$ Hz, 1H), 6.72 (t, $J = 7.3$ Hz, 1H), 6.63 (d, $J = 7.6$ Hz, 2H), 6.52 (dd, $J = 10.0, 5.2$ Hz, 1H), 5.53 (s, 1H), 5.27 (s, 1H), 4.24 (s, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.39, 148.52, 147.39 (d, $J = 232.7$ Hz), 147.25, 132.38 (d, $J = 24.2$ Hz), 129.43, 123.74 (d, $J = 37.2$ Hz), 121.89 (d, $J = 7.3$ Hz), 118.11, 114.50, 113.02, 45.87.

^{19}F NMR (376 MHz, cdcl_3) δ -149.32 (dt, $J = 5.9, 4.3$ Hz).

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{14}\text{H}_{13}\text{FN}_2\text{O}+\text{H}]^+$: 245.1085, found: 245.1087.



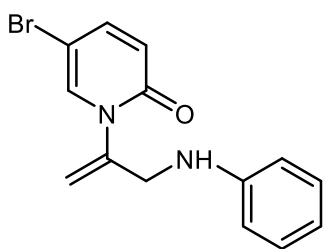
5-Chloro-1-(3-(phenylamino)prop-1-en-2-yl)pyridin-2(1H)-one (3e):

Yield: 54%

^1H NMR (400 MHz, CDCl_3) δ 7.29 (dd, $J = 9.8, 2.8$ Hz, 1H), 7.17 (t, $J = 8.0$ Hz, 2H), 7.09 (d, $J = 2.8$ Hz, 1H), 6.72 (t, $J = 7.3$ Hz, 1H), 6.63 (d, $J = 8.8$ Hz, 2H), 6.51 (d, $J = 9.7$ Hz, 1H), 5.53 (s, 1H), 5.27 (s, 1H), 4.21 (s, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.72, 148.28, 147.19, 141.36, 135.68, 129.43, 122.08, 118.17, 114.64, 113.08, 112.58, 45.92.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{14}\text{H}_{13}\text{ClN}_2\text{O}+\text{H}]^+$: 261.0789, found: 261.0800.



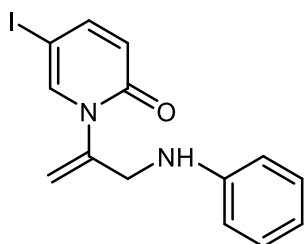
5-Bromo-1-(3-(phenylamino)prop-1-en-2-yl)pyridin-2(1H)-one (3f):

Yield: 66%

^1H NMR (400 MHz, CDCl_3) δ 7.36 (dd, $J = 9.8, 2.7$ Hz, 1H), 7.22 – 7.12 (m, 3H), 6.72 (t, $J = 7.4$ Hz, 1H), 6.67 – 6.60 (m, 2H), 6.46 (d, $J = 9.5$ Hz, 1H), 5.53 (s, 1H), 5.27 (s, 1H), 4.20 (s, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.73, 148.20, 147.17, 143.40, 137.93, 129.42, 122.43, 118.17, 114.65, 113.09, 98.11, 45.92.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{14}\text{H}_{13}\text{BrN}_2\text{O}+\text{H}]^+$: 305.0284, found: 305.0288.



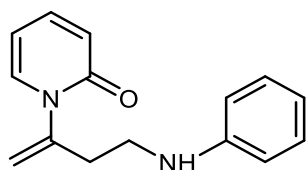
5-Iodo-1-(3-(phenylamino)prop-1-en-2-yl)pyridin-2(1H)-one (3g):

Yield: 70%

^1H NMR (400 MHz, CDCl_3) δ 7.43 (dd, $J = 9.6, 2.5$ Hz, 1H), 7.24 (d, $J = 2.5$ Hz, 1H), 7.21 – 7.12 (m, 2H), 6.72 (t, $J = 7.3$ Hz, 1H), 6.66 – 6.59 (m, 2H), 6.36 (d, $J = 9.7$ Hz, 1H), 5.52 (s, 1H), 5.25 (s, 1H), 4.19 (s, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.73, 148.05, 147.76, 147.14, 142.87, 129.42, 123.00, 118.19, 114.65, 113.14, 64.44, 45.94.

HRMS (ESI): m/z [$\text{M}+\text{H}^+$] calcd for [$\text{C}_{14}\text{H}_{13}\text{IN}_2\text{O}+\text{H}$] $^+$: 353.0145, found: 353.0148.



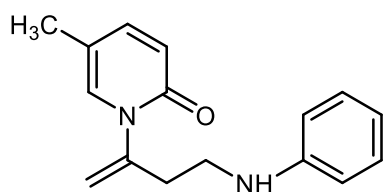
1-(4-(Phenylamino)but-1-en-2-yl)pyridin-2(1H)-one (4a):

Yield: 91%

^1H NMR (400 MHz, CDCl_3) δ 7.35 (ddd, $J = 9.3, 6.6, 2.1$ Hz, 1H), 7.21 – 7.11 (m, 3H), 6.69 (t, $J = 7.9$ Hz, 1H), 6.60 (d, $J = 8.7$ Hz, 2H), 6.56 (d, $J = 8.3$ Hz, 1H), 6.15 (t, $J = 6.7$ Hz, 1H), 5.34 (s, 1H), 5.21 (s, 1H), 4.16 (s, 1H), 3.23 (t, $J = 6.4$ Hz, 2H), 2.83 (t, $J = 6.4$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.21, 148.07, 147.99, 140.21, 137.86, 129.31, 121.53, 117.43, 115.30, 112.99, 106.05, 40.87, 33.60.

HRMS (ESI): m/z [$\text{M}+\text{H}^+$] calcd for [$\text{C}_{15}\text{H}_{16}\text{N}_2\text{O} + \text{H}$] $^+$: 241.1335, found: 241.1336.



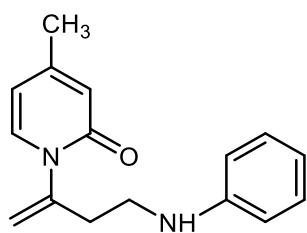
5-Methyl-1-(4-(phenylamino)but-1-en-2-yl)pyridin-2(1H)-one (4b):

Yield: 85%

^1H NMR (400 MHz, CDCl_3) δ 7.21 (dd, $J = 9.3, 2.6$ Hz, 1H), 7.15 (t, $J = 8.0$ Hz, 2H), 6.94 (s, 1H), 6.67 (t, $J = 7.3$ Hz, 1H), 6.59 (d, $J = 8.7$ Hz, 2H), 6.50 (d, $J = 9.4$ Hz, 1H), 5.31 (s, 1H), 5.19 (s, 1H), 3.23 (t, $J = 6.4$ Hz, 2H), 2.80 (t, $J = 6.4$ Hz, 2H), 2.02 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 161.47, 148.09, 147.95, 142.91, 135.17, 129.28, 121.05, 117.34, 115.14, 115.08, 112.99, 40.92, 33.69, 17.00.

HRMS (ESI): m/z [$\text{M}+\text{H}^+$] calcd for [$\text{C}_{16}\text{H}_{18}\text{N}_2\text{O}+\text{H}$] $^+$: 255.1492, found: 255.1499.



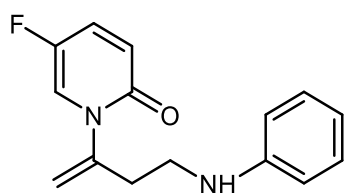
4-Methyl-1-(4-(phenylamino)but-1-en-2-yl)pyridin-2(1H)-one (4c):

Yield: 85%

^1H NMR (400 MHz, CDCl_3) δ 7.15 (t, $J = 7.5$ Hz, 2H), 7.06 (d, $J = 6.9$ Hz, 1H), 6.68 (td, $J = 7.3, 1.1$ Hz, 1H), 6.59 (d, $J = 8.6$ Hz, 2H), 6.36 (s, 1H), 5.99 (dd, $J = 6.9, 2.0$ Hz, 1H), 5.31 (s, 1H), 5.17 (s, 1H), 3.21 (t, $J = 6.4$ Hz, 2H), 2.81 (t, $J = 6.4$ Hz, 2H), 2.18 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.20, 152.03, 148.08, 147.77, 136.67, 129.27, 119.70, 117.37, 115.16, 112.99, 108.67, 40.87, 33.66, 21.34.

HRMS (ESI): m/z [$\text{M}+\text{H}^+$] calcd for $[\text{C}_{16}\text{H}_{18}\text{N}_2\text{O}+\text{H}]^+$: 255.1492, found: 255.1495.



5-Fluoro-1-(4-(phenylamino)but-1-en-2-yl)pyridin-2(1H)-one (4d):

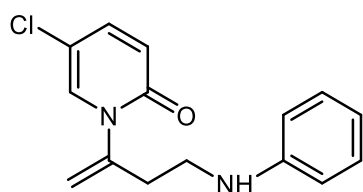
Yield: 88%

^1H NMR (400 MHz, CDCl_3) δ 7.31 (ddd, $J = 10.0, 6.8, 3.3$ Hz, 1H), 7.21 – 7.10 (m, 3H), 6.70 (t, $J = 7.4$ Hz, 1H), 6.60 (d, $J = 8.6$ Hz, 2H), 6.53 (dd, $J = 10.0, 5.1$ Hz, 1H), 5.35 (s, 1H), 5.23 (s, 1H), 3.25 (t, $J = 6.4$ Hz, 2H), 2.82 (t, $J = 6.4$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.24, 147.95, 147.53, 147.36 (d, $J = 233.1$ Hz), 132.16 (d, $J = 24.2$ Hz), 129.34, 123.27 (d, $J = 37.2$ Hz), 122.10 (d, $J = 7.3$ Hz), 117.58, 115.73, 113.03, 40.92, 33.41.

^{19}F NMR (376 MHz, CDCl_3) δ -149.09 – -149.17 (m).

HRMS (ESI): m/z [$\text{M}+\text{H}^+$] calcd for $[\text{C}_{15}\text{H}_{15}\text{FN}_2\text{O}+\text{H}]^+$: 259.1241, found: 259.1251.



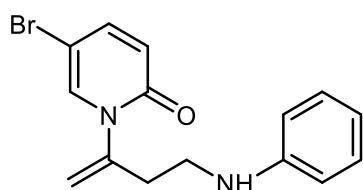
5-Chloro-1-(4-(phenylamino)but-1-en-2-yl)pyridin-2(1H)-one (4e):

Yield: 82%

^1H NMR (400 MHz, CDCl_3) δ 7.30 (dd, $J = 9.7, 2.9$ Hz, 1H), 7.24 (d, $J = 2.9$ Hz, 1H), 7.17 (t, $J = 8.0$ Hz, 2H), 6.70 (t, $J = 7.3$ Hz, 1H), 6.60 (d, $J = 8.7$ Hz, 2H), 6.53 (d, $J = 9.9$ Hz, 1H), 5.36 (s, 1H), 5.23 (s, 1H), 3.26 (t, $J = 6.4$ Hz, 2H), 2.80 (t, $J = 6.4$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.61, 147.89, 147.36, 141.18, 135.25, 129.36, 122.29, 117.63, 115.86, 113.06, 112.64, 40.94, 33.48.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{15}\text{H}_{15}\text{ClN}_2\text{O} + \text{H}]^+$: 275.0946, found: 275.0957.



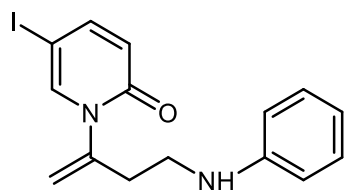
5-Bromo-1-(4-(phenylamino)but-1-en-2-yl)pyridin-2(1H)-one (4f):

Yield: 78%

^1H NMR (400 MHz, CDCl_3) δ 7.37 (dd, $J = 9.7, 2.7$ Hz, 1H), 7.32 (d, $J = 2.6$ Hz, 1H), 7.17 (t, $J = 8.0$ Hz, 2H), 6.70 (t, $J = 7.3$ Hz, 1H), 6.60 (d, $J = 8.7$ Hz, 2H), 6.48 (d, $J = 9.7$ Hz, 1H), 5.35 (s, 1H), 5.23 (s, 1H), 4.13 (s, 1H), 3.26 (t, $J = 6.5$ Hz, 2H), 2.79 (t, $J = 6.4$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.61, 147.89, 147.34, 143.20, 137.50, 129.36, 122.67, 117.61, 115.83, 113.05, 98.16, 40.95, 33.51.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{15}\text{H}_{15}\text{BrN}_2\text{O} + \text{H}]^+$: 319.0441, found: 319.0452.



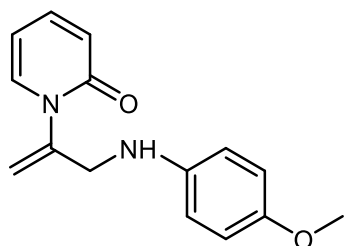
5-Iodo-1-(4-(phenylamino)but-1-en-2-yl)pyridin-2(1H)-one (4g):

Yield: 78%

^1H NMR (400 MHz, CDCl_3) δ 7.44 (dd, $J = 9.5, 2.5$ Hz, 1H), 7.44 – 7.39 (m, 1H), 7.17 (t, $J = 8.0$ Hz, 2H), 6.74 – 6.66 (m, 1H), 6.60 (d, $J = 8.7$ Hz, 2H), 6.38 (d, $J = 9.6$ Hz, 1H), 5.34 (s, 1H), 5.22 (s, 1H), 3.26 (t, $J = 6.4$ Hz, 2H), 2.78 (t, $J = 6.4$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 160.61, 147.85, 147.56, 147.21, 142.42, 129.37, 123.24, 117.62, 115.79, 113.07, 64.54, 40.97, 33.54.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{15}H_{15}IN_2O + H]^+$: 367.0302, found: 367.0308.



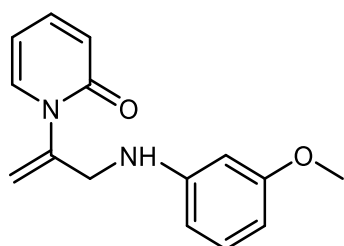
1-(3-((4-Methoxyphenyl)amino)prop-1-en-2-yl)pyridin-2(1H)-one (3aa):

Yield: 95%

1H NMR (400 MHz, $CDCl_3$) δ 7.33 (ddd, $J = 9.2, 6.6, 2.1$ Hz, 1H), 7.01 (dd, $J = 6.8, 2.1$ Hz, 1H), 6.74 (d, $J = 9.0$ Hz, 2H), 6.58 (d, $J = 8.9$ Hz, 2H), 6.53 (d, $J = 9.3$ Hz, 1H), 6.08 (t, $J = 6.7$ Hz, 1H), 5.48 (s, 1H), 5.22 (s, 1H), 4.17 (s, 2H), 3.97 (s, 1H), 3.71 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.28, 152.28, 149.04, 141.46, 140.37, 138.33, 121.25, 114.93, 114.23, 114.08, 105.94, 55.80, 46.72.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{15}H_{16}N_2O_2 + H]^+$: 257.1285, found: 257.1287.



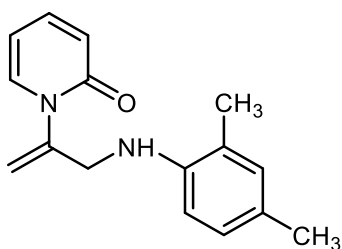
1-(3-((3-Methoxyphenyl)amino)prop-1-en-2-yl)pyridin-2(1H)-one (3ab):

Yield: 94%

1H NMR (400 MHz, $CDCl_3$) δ 7.33 (ddd, $J = 9.1, 6.6, 2.1$ Hz, 1H), 7.09 – 6.99 (m, 2H), 6.53 (d, $J = 8.9$ Hz, 1H), 6.29 – 6.17 (m, 3H), 6.09 (td, $J = 6.7, 1.3$ Hz, 1H), 5.50 (s, 1H), 5.24 (s, 1H), 4.32 (s, 1H), 4.20 (s, 2H), 3.74 (s, 3H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.29, 160.85, 148.82, 148.65, 140.42, 138.30, 130.03, 121.21, 114.10, 106.03, 106.00, 103.01, 98.88, 55.15, 45.95.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{15}H_{16}N_2O_2 + H]^+$: 257.1285, found: 257.1285.



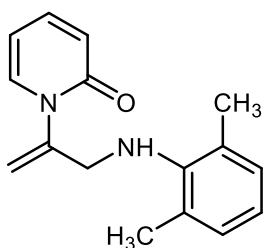
1-(3-((2,4-Dimethylphenyl)amino)prop-1-en-2-yl)pyridin-2(1H)-one (3ac):

Yield: 95%

^1H NMR (400 MHz, CDCl_3) δ 7.34 (ddd, $J = 9.2, 6.6, 2.1$ Hz, 1H), 6.98 (dd, $J = 6.8, 2.1$ Hz, 1H), 6.91 (d, $J = 8.0$ Hz, 1H), 6.84 (s, 1H), 6.56 (t, $J = 8.4$ Hz, 2H), 6.08 (t, $J = 6.7$ Hz, 1H), 5.50 (s, 1H), 5.25 (s, 1H), 4.28 (s, 2H), 3.99 (s, 1H), 2.21 (s, 3H), 2.02 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.35, 148.74, 142.82, 140.37, 138.27, 131.10, 127.33, 126.48, 122.22, 121.17, 114.22, 110.24, 105.92, 46.08, 20.40, 17.40.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{16}\text{H}_{18}\text{N}_2\text{O} + \text{H}]^+$: 255.1492, found: 255.1490.



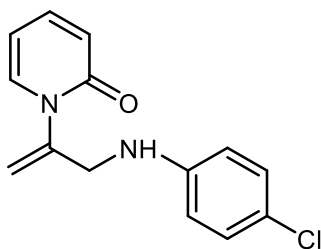
1-(3-((2,6-Dimethylphenyl)amino)prop-1-en-2-yl)pyridin-2(1H)-one (3ad):

Yield: 11%

^1H NMR (400 MHz, CDCl_3) δ 7.35 (ddd, $J = 9.2, 6.6, 2.1$ Hz, 1H), 6.96 – 6.86 (m, 3H), 6.78 (t, $J = 7.5$ Hz, 1H), 6.55 (d, $J = 9.3$ Hz, 1H), 6.09 (td, $J = 6.6, 1.3$ Hz, 1H), 5.49 (s, 1H), 5.19 (s, 1H), 4.12 (s, 2H), 2.18 (s, 6H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.43, 150.32, 144.84, 140.36, 138.50, 129.13, 129.01, 121.98, 121.29, 114.55, 105.93, 49.53, 18.62.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{16}\text{H}_{18}\text{N}_2\text{O} + \text{H}]^+$: 255.1492, found: 255.1493.



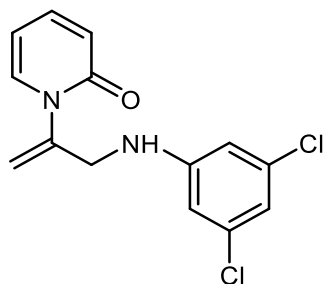
1-(3-((4-Chlorophenyl)amino)prop-1-en-2-yl)pyridin-2(1H)-one (3ae):

Yield: 93%

^1H NMR (400 MHz, CDCl_3) δ 7.33 (ddd, $J = 9.3, 6.6, 2.1$ Hz, 1H), 7.07 (d, $J = 8.9$ Hz, 2H), 7.02 (dd, $J = 6.8, 1.4$ Hz, 1H), 6.55 (d, $J = 9.0$ Hz, 2H), 6.52 (d, $J = 9.2$ Hz, 1H), 6.10 (td, $J = 6.7, 1.3$ Hz, 1H), 5.47 (s, 1H), 5.24 (s, 1H), 4.36 (s, 1H), 4.19 (s, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.29, 148.47, 145.97, 140.49, 138.15, 129.13, 122.29, 121.30, 114.16, 114.04, 106.15, 45.96.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{14}\text{H}_{13}\text{ClN}_2\text{O} + \text{H}]^+$: 261.0789, found: 261.0788.



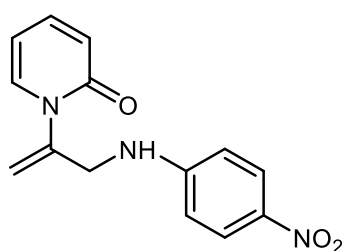
1-(3-((3,5-Dichlorophenyl)amino)prop-1-en-2-yl)pyridin-2(1H)-one (3af):

Yield: 78%

^1H NMR (400 MHz, CDCl_3) δ 7.36 (ddd, $J = 9.1, 6.6, 2.1$ Hz, 1H), 7.07 (dd, $J = 6.8, 2.1$ Hz, 1H), 6.64 (t, $J = 1.8$ Hz, 1H), 6.53 (d, $J = 8.4$ Hz, 1H), 6.50 (d, $J = 1.9$ Hz, 2H), 6.15 (t, $J = 6.7$ Hz, 1H), 5.50 (s, 1H), 5.28 (s, 1H), 4.77 (t, $J = 6.2$ Hz, 1H), 4.18 (d, $J = 6.3$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.39, 149.21, 147.84, 140.65, 138.03, 135.56, 121.38, 117.46, 114.59, 111.11, 106.43, 45.74.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{14}\text{H}_{12}\text{Cl}_2\text{N}_2\text{O} + \text{H}]^+$: 295.0399, found: 295.0402.



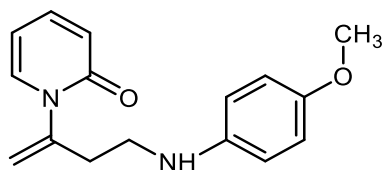
1-(3-((4-Nitrophenyl)amino)prop-1-en-2-yl)pyridin-2(1H)-one (3ag):

Yield: 21%

^1H NMR (400 MHz, CD_3OD) δ 8.02 (d, $J = 9.3$ Hz, 2H), 7.56 (ddd, $J = 9.0, 6.7, 2.1$ Hz, 1H), 7.37 (dd, $J = 6.7, 2.1$ Hz, 1H), 6.76 (d, $J = 9.3$ Hz, 2H), 6.55 (d, $J = 9.2$ Hz, 1H), 6.35 (td, $J = 6.7, 1.3$ Hz, 1H), 5.55 (s, 1H), 5.33 (s, 1H), 4.28 (s, 2H).

^{13}C NMR (101 MHz, CD_3OD) δ 164.30, 155.36, 148.67, 143.07, 140.19, 138.87, 127.10, 121.16, 115.13, 112.50, 108.51, 45.42.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{14}H_{13}N_3O_3 + H]^+$: 272.1030, found: 272.1029.



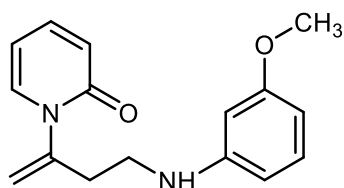
1-(4-((4-Methoxyphenyl)amino)but-1-en-2-yl)pyridin-2(1H)-one (4aa):

Yield: 88%

1H NMR (400 MHz, $CDCl_3$) δ 7.34 (ddd, $J = 9.2, 6.6, 2.1$ Hz, 1H), 7.21 – 7.14 (m, 1H), 6.75 (d, $J = 9.0$ Hz, 2H), 6.60 – 6.51 (m, 3H), 6.14 (td, $J = 6.7, 1.3$ Hz, 1H), 5.32 (s, 1H), 5.19 (s, 1H), 3.72 (s, 3H), 3.16 (t, $J = 6.4$ Hz, 2H), 2.80 (t, $J = 6.4$ Hz, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.18, 152.17, 147.97, 142.29, 140.18, 137.88, 121.46, 115.27, 114.95, 114.38, 105.99, 55.85, 41.80, 33.74.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{18}N_2O_2 + H]^+$: 271.1441, found: 271.1439.



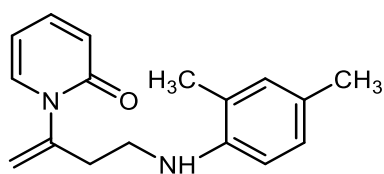
1-(4-((3-Methoxyphenyl)amino)but-1-en-2-yl)pyridin-2(1H)-one (4ab):

Yield: 86%

1H NMR (400 MHz, $CDCl_3$) δ 7.34 (ddd, $J = 8.8, 6.5, 2.1$ Hz, 1H), 7.17 (ddd, $J = 6.8, 2.1, 0.8$ Hz, 1H), 7.04 (t, $J = 8.1$ Hz, 1H), 6.55 (d, $J = 9.3$ Hz, 1H), 6.28 – 6.18 (m, 2H), 6.17 – 6.10 (m, 2H), 5.33 (s, 1H), 5.20 (s, 1H), 4.23 (s, 1H), 3.74 (s, 3H), 3.21 (t, $J = 6.4$ Hz, 2H), 2.81 (t, $J = 6.3$ Hz, 2H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 162.18, 160.86, 149.48, 147.90, 140.22, 137.84, 130.00, 121.47, 115.28, 106.07, 106.06, 102.56, 98.81, 55.12, 40.84, 33.52.

HRMS (ESI): m/z $[M+H]^+$ calcd for $[C_{16}H_{18}N_2O_2 + H]^+$: 271.1441, found: 271.1438.



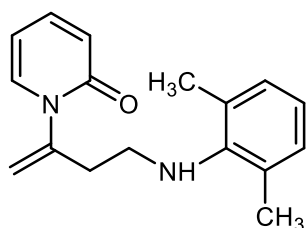
1-(4-((2,4-Dimethylphenyl)amino)but-1-en-2-yl)pyridin-2(1H)-one (4ac):

Yield: 92%

^1H NMR (400 MHz, CDCl_3) δ 7.36 (ddd, $J = 9.2, 6.6, 2.1$ Hz, 1H), 7.22 – 7.15 (m, 1H), 6.91 (d, $J = 8.1$ Hz, 1H), 6.88 (s, 1H), 6.57 (d, $J = 9.2$ Hz, 1H), 6.49 (d, $J = 8.0$ Hz, 1H), 6.15 (td, $J = 6.6, 1.3$ Hz, 1H), 5.33 (s, 1H), 5.21 (s, 1H), 3.89 (s, 1H), 3.26 (t, $J = 6.4$ Hz, 2H), 2.86 (t, $J = 6.4$ Hz, 2H), 2.23 (s, 3H), 2.14 (s, 3H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.22, 148.15, 143.65, 140.16, 137.84, 131.07, 127.29, 126.05, 122.51, 121.53, 115.13, 109.80, 105.99, 40.97, 33.67, 20.40, 17.48.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{17}\text{H}_{20}\text{N}_2\text{O} + \text{H}]^+$: 269.1648, found: 269.1649.



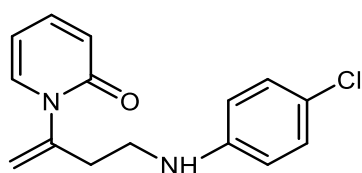
1-(4-((2,6-Dimethylphenyl)amino)but-1-en-2-yl)pyridin-2(1H)-one (4ad):

Yield: 89%

^1H NMR (400 MHz, CDCl_3) δ 7.35 (ddd, $J = 9.2, 6.6, 2.1$ Hz, 1H), 7.18 (ddd, $J = 6.8, 2.1, 0.7$ Hz, 1H), 6.96 (d, $J = 7.4$ Hz, 2H), 6.79 (t, $J = 7.4$ Hz, 1H), 6.56 (d, $J = 9.3$ Hz, 1H), 6.15 (td, $J = 6.6, 1.3$ Hz, 1H), 5.33 (s, 1H), 5.19 (s, 1H), 3.12 (t, $J = 6.7$ Hz, 2H), 2.81 (t, $J = 6.8$ Hz, 2H), 2.25 (s, 6H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.13, 148.66, 145.87, 140.10, 137.97, 129.04, 128.94, 121.71, 121.55, 114.70, 105.89, 45.22, 35.40, 18.79.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{17}\text{H}_{20}\text{N}_2\text{O} + \text{H}]^+$: 269.1648, found: 269.1646.



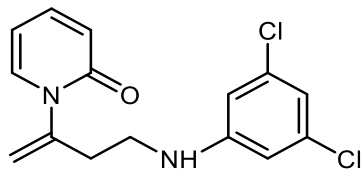
1-(4-((4-Chlorophenyl)amino)but-1-en-2-yl)pyridin-2(1H)-one (4ae):

Yield: 90%

^1H NMR (400 MHz, CDCl_3) δ 7.35 (ddd, $J = 9.3, 6.6, 2.1$ Hz, 1H), 7.16 (dd, $J = 6.8, 2.1$ Hz, 1H), 7.07 (d, $J = 8.9$ Hz, 2H), 6.55 (d, $J = 9.9$ Hz, 1H), 6.50 (d, $J = 9.0$ Hz, 2H), 6.15 (td, $J = 6.7, 1.3$ Hz, 1H), 5.32 (s, 1H), 5.21 (s, 1H), 4.42 (s, 1H), 3.20 (t, $J = 6.3$ Hz, 2H), 2.78 (t, $J = 6.3$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.24, 147.63, 146.72, 140.29, 137.71, 129.08, 121.74, 121.52, 115.50, 113.99, 106.16, 41.04, 33.47.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{15}\text{H}_{15}\text{ClN}_2\text{O} + \text{H}]^+$: 275.0946, found: 275.0945.



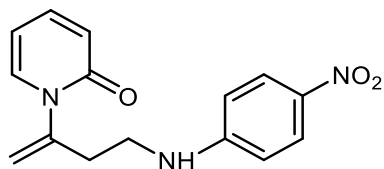
1-(4-((3,5-Dichlorophenyl)amino)but-1-en-2-yl)pyridin-2(1H)-one (4af):

Yield: 89%

^1H NMR (400 MHz, CDCl_3) δ 7.37 (ddd, $J = 9.2, 6.6, 2.1$ Hz, 1H), 7.17 (dd, $J = 6.8, 2.1$ Hz, 1H), 6.59 (t, $J = 1.8$ Hz, 1H), 6.55 (d, $J = 8.7$ Hz, 1H), 6.43 (d, $J = 1.9$ Hz, 2H), 6.19 (td, $J = 6.7, 1.3$ Hz, 1H), 5.34 (s, 1H), 5.23 (s, 1H), 5.04 (s, 1H), 3.21 (t, $J = 6.3$ Hz, 2H), 2.75 (t, $J = 6.2$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.32, 149.88, 147.31, 140.48, 137.62, 135.44, 121.49, 116.58, 115.70, 110.84, 106.43, 40.84, 33.22.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{15}\text{H}_{14}\text{Cl}_2\text{N}_2\text{O} + \text{H}]^+$: 309.0556, found: 309.0555.



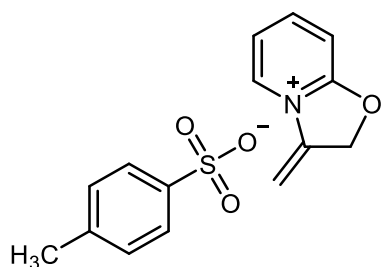
1-(4-((4-Nitrophenyl)amino)but-1-en-2-yl)pyridin-2(1H)-one (4ag):

Yield: 51%

^1H NMR (400 MHz, CDCl_3) δ 8.04 (d, $J = 9.2$ Hz, 2H), 7.41 (ddd, $J = 9.2, 6.6, 2.1$ Hz, 1H), 7.22 (ddd, $J = 6.8, 2.1, 0.8$ Hz, 1H), 6.57 (d, $J = 9.3$ Hz, 1H), 6.53 (d, $J = 9.3$ Hz, 2H), 6.31 (t, $J = 5.3$ Hz, 1H), 6.23 (td, $J = 6.7, 1.3$ Hz, 1H), 5.37 (s, 1H), 5.28 (s, 1H), 3.39 (q, $J = 6.0$ Hz, 2H), 2.77 (t, $J = 6.2$ Hz, 2H).

^{13}C NMR (101 MHz, CDCl_3) δ 162.50, 153.65, 147.10, 140.79, 137.61, 137.53, 126.57, 121.45, 115.85, 110.99, 106.77, 41.04, 32.95.

HRMS (ESI): m/z $[\text{M}+\text{H}^+]$ calcd for $[\text{C}_{15}\text{H}_{15}\text{N}_3\text{O}_3 + \text{H}]^+$: 286.1186, found: 286.1187.



3-Methylidene-2,3-dihydro[1,3]oxazolo[3,2-a]pyridin-4-ium *p*-toluenesulfonate:

^1H NMR (400 MHz, CD_3OD) δ 8.93 (d, $J = 6.4$ Hz, 1H), 8.52 – 8.43 (m, 1H), 7.69 (d, $J = 8.2$ Hz, 2H), 7.65 – 7.56 (m, 2H), 7.23 (d, $J = 7.9$ Hz, 2H), 6.12 (dt, $J = 4.6, 3.2$ Hz, 1H), 5.70 (t, $J = 3.0$ Hz, 2H), 5.59 (dt, $J = 5.3, 2.8$ Hz, 1H), 2.37 (s, 3H).

^{13}C NMR (101 MHz, CD_3OD) δ 163.89, 151.06, 143.66, 141.63, 139.76, 132.70, 129.80, 126.94, 120.62, 112.78, 99.79, 74.94, 21.29.

Compounds 1a-g and 2a-g: The synthesis and characterization of these compounds are described in A. O. Karatavuk, *Org. Biomol. Chem.* **2021**, *19*, 10617-10621.

