

Hypervalent Iodine-Mediated Cyclization of *N*-Vinyl Amides for the Synthesis of 4-Functionalized Dihydrooxazolines

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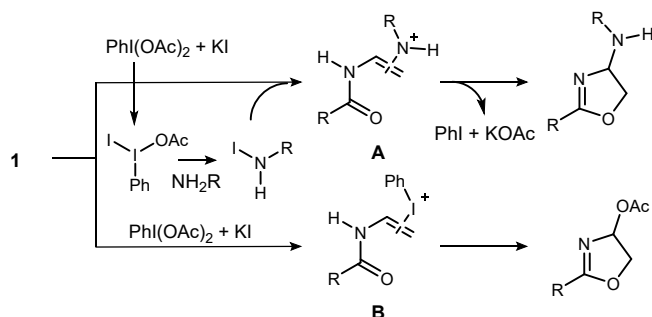
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SI-1 Proposed Reaction Mechanism



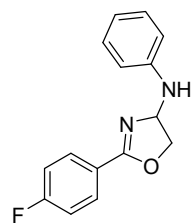
Scheme S1. Proposed Mechanism

PhI(OAc)₂ and KI undergo ligand metathesis to generate the unsymmetrical iodine(III) species PhI(OAc)(I) as the active electrophilic intermediate. This species engages the substrate through two divergent pathways. When an amine is present, PhI(OAc)(I) oxidizes the nitrogen center of the substrate to afford an N–I intermediate, which upon addition to the alkene forms an aziridinium ion, ultimately delivering the aminoxygenation adduct. Without amine species, PhI(OAc)(I) activates the alkene directly through formation of a bridged iodonium ion intermediate, with concomitant release of PhI and acetate. Nucleophilic attack by the acetoxy group on the iodonium ion delivers the acetoxyiodination adduct.

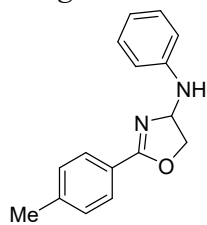
General procedure 1: The mixture of vinylbenzamide (0.300 mmol) in acetonitrile (1.5 mL) was added PhI(OAc)₂ (0.360 mmol), KI (0.360 mmol), and amine (0.360 mmol) at room temperature. The reaction mixture was stirred at 50 °C for 18 h. The mixture was concentrated and purified by column chromatography on silica gel to afford the 4-substituted dihydrooxazoline.

General procedure 2: The mixture of vinylbenzamide (0.600 mmol) in acetonitrile (1.8 mL) was added PhI(OAc)₂ (0.360 mmol), KI (0.360 mmol), and amine (0.360 mmol) at room temperature. The reaction mixture was stirred at 50 °C for 18 h. The mixture was concentrated and purified by column chromatography on silica gel to afford the 4-substituted dihydrooxazoline.

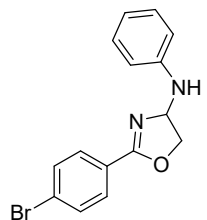
General procedure 3: The mixture of vinylbenzamide (0.300 mmol) in acetonitrile (1.5 mL) was added PhI(OAc)₂ (0.360 mmol), KI (0.360 mmol) at room temperature. The reaction mixture was stirred at 50 °C for 18 h. The mixture was concentrated and purified by column chromatography on silica gel to afford the 4-substituted dihydrooxazoline.



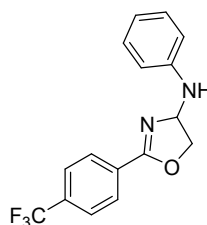
2-(4-Fluorophenyl)-N-phenyl-4,5-dihydrooxazol-4-amine (3a). Prepared according to the general procedure 1 using 4-fluoro-*N*-vinylbenzamide (49.6 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (52.1 mg, 68%). *R_f* = 0.32 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.06 – 8.00 (m, 2H), 7.22 (dd, *J* = 8.5, 7.4 Hz, 2H), 7.10 (dd, *J* = 9.0, 8.4 Hz, 2H), 6.81 (tt, *J* = 7.4, 1.1 Hz, 1H), 6.77 (dd, *J* = 8.6, 1.1 Hz, 2H), 5.86 (dd, *J* = 8.4, 6.2 Hz, 1H), 4.71 (dd, *J* = 9.5, 8.4 Hz, 1H), 4.30 (dd, *J* = 9.5, 6.2 Hz, 1H), the NH was not observed; ¹³C NMR (101 MHz, CDCl₃) δ 165.21 (t, *J*_{C-F} = 127.4 Hz), 145.72, 131.16, 131.07, 129.57 (2C), 123.61, 123.58, 119.19, 115.84, 115.62, 114.36 (2C), 77.11, 73.49; HRMS (ESI): Exact mass calcd for C₁₅H₁₃FN₂O [M+H]⁺ 257.1085, found 257.1084.



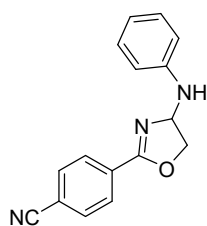
N-Phenyl-2-(*p*-tolyl)-4,5-dihydrooxazol-4-amine (3b). Prepared according to the general procedure 1 using 4-methyl-*N*-vinylbenzamide (48.4 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (36.7 mg, 46%). *R_f* = 0.30 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.88 (d, *J* = 8.2 Hz, 2H), 7.25 – 7.19 (m, 4H), 6.80 (tt, *J* = 7.4, 1.1 Hz, 1H), 6.74 (dd, *J* = 8.6, 1.1 Hz, 2H), 5.81 (q, *J* = 7.3 Hz, 1H), 4.65 (dd, *J* = 9.3, 8.3 Hz, 1H), 4.19 (dd, *J* = 9.3, 6.0 Hz, 1H), 4.09 (d, *J* = 7.3 Hz, 1H), 2.40 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 166.30, 145.81, 142.64, 129.54 (2C), 129.28 (2C), 128.76 (2C), 124.44, 119.02, 114.30 (2C), 76.95, 73.34, 21.78.; HRMS (ESI): Exact mass calcd for C₁₆H₁₆N₂O [M+H]⁺ 253.1335, found 253.1333.



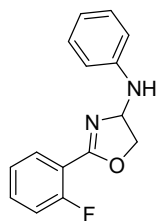
2-(4-Bromophenyl)-*N*-phenyl-4,5-dihydrooxazol-4-amine (3c). Prepared according to the general procedure 1 using 4-bromo-*N*-vinylbenzamide (67.8 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (52.5 mg, 55%). *R_f* = 0.27 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.85 (dt, *J* = 8.7, 2.2 Hz, 2H), 7.56 (dt, *J* = 8.7, 2.0 Hz, 2H), 7.25 – 7.18 (m, 2H), 6.82 (tt, *J* = 7.4, 1.1 Hz, 1H), 6.80 – 6.72 (m, 2H), 5.83 (dd, *J* = 8.4, 6.3 Hz, 1H), 4.67 (dd, *J* = 9.4, 8.4 Hz, 1H), 4.20 (dd, *J* = 9.4, 6.3 Hz, 1H), 4.10 (s, 1H); ¹³C NMR (101 MHz, CDCl₃) δ 165.26, 145.68, 131.84 (2C), 130.26 (2C), 129.57 (2C), 126.84, 126.35, 119.23, 114.35 (2C), 77.36, 73.42; HRMS (ESI): Exact mass calcd for C₁₅H₁₃BrN₂O [M+H]⁺ 317.0284, found 317.0283.



***N*-Phenyl-2-(4-(trifluoromethyl)phenyl)-4,5-dihydrooxazol-4-amine (3d).** Prepared according to the general procedure 1 using 4-(trifluoromethyl)-*N*-vinylbenzamide (64.6 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (38.2 mg, 42%). *R_f* = 0.29 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.11 (dt, *J* = 8.0, 0.8 Hz, 2H), 7.68 (dt, *J* = 7.5, 0.8 Hz, 2H), 7.26 – 7.22 (m, 2H), 6.83 (dt, *J* = 7.3, 1.1 Hz, 1H), 6.80 – 6.75 (m, 2H), 5.88 (q, *J* = 7.6 Hz, 1H), 4.72 (dd, *J* = 9.5, 8.5 Hz, 1H), 4.23 (dd, *J* = 9.4, 6.4 Hz, 1H), 4.10 (d, *J* = 8.0 Hz, 1H), 1.57 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 164.72, 145.64, 133.72, 133.39, 130.85 (2C), 129.60 (2C), 129.12, 125.51 (q, *J*_{C-F} = 3.8 Hz), 119.34 (2C), 114.38 (2C), 77.24, 73.45; HRMS (ESI): Exact mass calcd for C₁₆H₁₃F₃N₂O [M+H]⁺ 307.1053, found 307.1051.

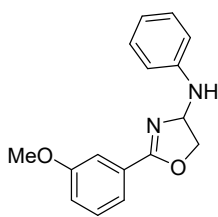


4-(4-(Phenylamino)-4,5-dihydrooxazol-2-yl)benzotrile (3e). Prepared according to the general procedure 1 using 4-cyano-*N*-vinylbenzamide (51.7 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (43.4 mg, 55%). *R_f* = 0.36 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.10 (d, *J* = 8.7 Hz, 2H), 7.71 (d, *J* = 8.7 Hz, 2H), 7.26 – 7.20 (m, 2H), 6.84 (tt, *J* = 7.3, 1.1 Hz, 1H), 6.78 (dt, *J* = 7.8, 1.1 Hz, 2H), 5.88 (td, *J* = 8.4, 6.5 Hz, 1H), 4.72 (dd, *J* = 9.5, 8.6 Hz, 1H), 4.23 (dd, *J* = 9.5, 6.5 Hz, 1H), 4.08 (d, *J* = 8.3 Hz, 1H); ¹³C NMR (101 MHz, CDCl₃) δ 164.23, 145.57, 132.29 (2C), 131.65, 129.60 (2C), 129.25 (2C), 119.42, 118.29, 115.37, 114.39 (2C), 77.27, 73.48; HRMS (ESI): Exact mass calcd for C₁₆H₁₃N₃O [M+H]⁺ 264.1131, found 264.1129.

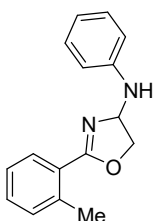


2-(2-Fluorophenyl)-*N*-phenyl-4,5-dihydrooxazol-4-amine (3f). Prepared according to the general procedure 1 using 2-fluoro-*N*-vinylbenzamide (49.6 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (29.7 mg, 37%). *R_f* = 0.34 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.98 (td, *J* = 7.5, 1.8 Hz, 1H), 7.50 (dddd, *J* = 8.9, 7.2, 4.9, 1.8 Hz, 1H), 7.26 – 7.11 (m, 4H), 6.83 (t, *J* = 7.4 Hz, 1H), 6.79

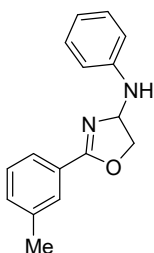
(d, $J = 7.7$ Hz, 2H), 5.87 (t, $J = 7.3$ Hz, 1H), 4.69 (t, $J = 9.0$ Hz, 1H), 4.32 (t, $J = 8.0$ Hz, 1H), the NH was not observed; ^{13}C NMR (101 MHz, CDCl_3) δ 163.18, 162.72, 160.15, 145.77, 133.60, 131.59, 131.57, 129.55 (2C), 124.17, 119.10, 116.89, 115.80, 114.27 (2C), 77.36, 73.14; HRMS (ESI): Exact mass calcd for $\text{C}_{15}\text{H}_{13}\text{FN}_2\text{O}$ $[\text{M}+\text{H}]^+$ 257.1085, found 257.1083.



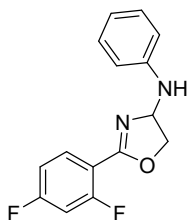
2-(3-Methoxyphenyl)-N-phenyl-4,5-dihydrooxazol-4-amine (3g). Prepared according to the general procedure 1 using 3-methoxy-*N*-vinylbenzamide (53.2 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO_2 , 0-30% ethyl acetate in hexanes) yielded a solid (41.3 mg, 51%). $R_f = 0.30$ (70% EtOAc/hexanes); ^1H NMR (400 MHz, CDCl_3) δ 7.57 (dt, $J = 7.7, 1.3$ Hz, 1H), 7.52 (dd, $J = 2.7, 1.5$ Hz, 1H), 7.33 (t, $J = 7.9$ Hz, 1H), 7.27 – 7.19 (m, 2H), 7.05 (ddd, $J = 8.3, 2.7, 1.0$ Hz, 1H), 6.81 (tt, $J = 7.3, 1.1$ Hz, 1H), 6.75 (dd, $J = 8.6, 1.1$ Hz, 2H), 5.83 (d, $J = 7.3$ Hz, 1H), 4.67 (dd, $J = 9.3, 8.4$ Hz, 1H), 4.21 (dd, $J = 9.3, 6.1$ Hz, 1H), 4.11 (s, 1H), 3.84 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 166.12, 159.66, 145.76, 129.62, 129.55 (2C), 128.52, 121.24, 119.11, 118.94, 114.34 (2C), 113.07, 77.04, 73.43, 55.61; HRMS (ESI): Exact mass calcd for $\text{C}_{16}\text{H}_{16}\text{N}_2\text{O}_2$ $[\text{M}+\text{H}]^+$ 269.1285, found 269.1283.



N-Phenyl-2-(*o*-tolyl)-4,5-dihydrooxazol-4-amine (3h). Prepared according to the general procedure 1 using 2-methyl-*N*-vinylbenzamide (48.4 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO_2 , 0-30% ethyl acetate in hexanes) yielded a solid (38.4 mg, 51%). $R_f = 0.32$ (70% EtOAc/hexanes); ^1H NMR (400 MHz, CDCl_3) δ 7.84 (dd, $J = 7.9, 1.5$ Hz, 1H), 7.36 (td, $J = 7.7, 1.5$ Hz, 1H), 7.28 – 7.18 (m, 4H), 6.81 (tt, $J = 7.3, 1.1$ Hz, 1H), 6.76 (dt, $J = 7.7, 1.1$ Hz, 2H), 5.83 (dd, $J = 14.4, 7.3$ Hz, 1H), 4.62 (dd, $J = 9.3, 8.4$ Hz, 1H), 4.18 (dd, $J = 9.3, 6.1$ Hz, 1H), 4.12 (d, $J = 7.2$ Hz, 1H), 2.60 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 166.58, 145.94, 139.34, 131.47, 131.14, 130.20, 129.51 (2C), 126.81, 125.75, 119.00, 114.35 (2C), 77.57, 72.61, 22.00; HRMS (ESI): Exact mass calcd for $\text{C}_{16}\text{H}_{16}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 253.1335, found 253.1334.

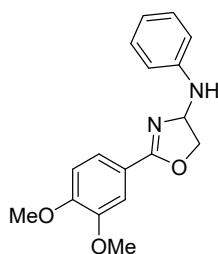


N-Phenyl-2-(*m*-tolyl)-4,5-dihydrooxazol-4-amine (3i). Prepared according to the general procedure 1 using 3-methyl-*N*-vinylbenzamide (48.4 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO_2 , 0-30% ethyl acetate in hexanes) yielded a solid (43.9 mg, 58%). $R_f = 0.28$ (70% EtOAc/hexanes); ^1H NMR (400 MHz, CDCl_3) δ 7.83 (td, $J = 1.4, 0.7$ Hz, 1H), 7.77 (tdd, $J = 4.1, 2.0, 0.6$ Hz, 1H), 7.34 – 7.28 (m, 2H), 7.27 – 7.18 (m, 2H), 6.81 (tt, $J = 7.3, 1.1$ Hz, 1H), 6.75 (dd, $J = 8.7, 1.1$ Hz, 2H), 5.83 (td, $J = 8.0, 6.1$ Hz, 1H), 4.66 (dd, $J = 9.3, 8.4$ Hz, 1H), 4.21 (dd, $J = 9.3, 6.1$ Hz, 1H), 4.10 (d, $J = 7.4$ Hz, 1H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 166.30, 145.83, 138.33, 132.86, 129.55 (2C), 129.33, 128.45, 127.22, 125.83, 119.04, 114.28 (2C), 77.03, 73.34, 21.38; HRMS (ESI): Exact mass calcd for $\text{C}_{16}\text{H}_{16}\text{N}_2\text{O}$ $[\text{M}+\text{H}]^+$ 253.1335, found 253.1334.

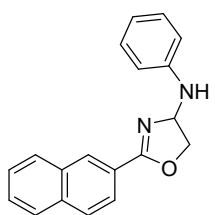


2-(2,4-Difluorophenyl)-N-phenyl-4,5-dihydrooxazol-4-amine (3j). Prepared according to the general procedure 1 using 2,4-difluoro-*N*-vinylbenzamide (54.9 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO_2 , 0-30% ethyl acetate in hexanes) yielded a solid (40.0 mg, 49%). $R_f = 0.26$ (70% EtOAc/hexanes); ^1H NMR (400 MHz, CDCl_3) δ 7.96 (tdd, $J = 8.7, 6.3, 1.8$ Hz, 1H), 7.25 – 7.20 (m, 2H), 6.91 (dtd, $J = 11.2, 8.5, 3.8$ Hz, 2H), 6.81 (tt, $J = 7.3, 1.2$ Hz, 1H), 6.74 (ddd, $J = 8.6, 2.3, 1.2$ Hz, 2H), 5.83 (q, $J = 7.0, 6.5$ Hz, 1H), 4.66 (td, $J = 9.2, 1.9$ Hz, 1H), 4.21 (ddd, $J = 8.2, 6.1, 1.9$ Hz, 1H), 4.12 (d, $J = 7.4$ Hz, 1H); ^{13}C NMR (101 MHz, CDCl_3) δ 165.38, 163.66 (d, $J_{\text{C-F}} = 61.1$ Hz), 162.36 (d, $J_{\text{C-F}} = 5.6$ Hz), 145.70, 133.06 (dd, $J_{\text{C-F}} = 10.3, 3.2$ Hz), 129.57 (2C), 119.18, 114.27 (2C), 112.29, 111.83 (dd, $J_{\text{C-F}} = 21.6, 3.8$ Hz), 105.26 (t, $J_{\text{C-F}} = 25.5$ Hz),

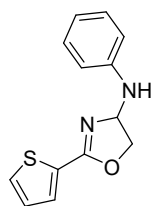
77.10, 73.12; HRMS (ESI): Exact mass calcd for C₁₅H₁₂F₂N₂O [M+H]⁺ 275.0990, found 275.0989.



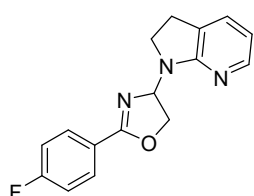
2-(3,4-Dimethoxyphenyl)-N-phenyl-4,5-dihydrooxazol-4-amine (3k). Prepared according to the general procedure 1 using 3,4-dimethoxy-*N*-vinylbenzamide (62.2 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (24.8 mg, 27%). *R*_f = 0.26 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.58 (dd, *J* = 8.4, 1.9 Hz, 1H), 7.52 (d, *J* = 2.0 Hz, 1H), 7.23 (dd, *J* = 8.6, 7.4 Hz, 2H), 6.88 (d, *J* = 8.4 Hz, 1H), 6.81 (tt, *J* = 7.4, 1.1 Hz, 1H), 6.74 (dd, *J* = 7.4, 1.1 Hz, 2H), 5.81 (td, *J* = 7.9, 5.9 Hz, 1H), 4.65 (dd, *J* = 9.3, 8.3 Hz, 1H), 4.20 (dd, *J* = 9.3, 6.0 Hz, 1H), 4.14 – 4.07 (m, 1H), 3.93 (d, *J* = 1.7 Hz, 6H); ¹³C NMR (101 MHz, CDCl₃) δ 165.90, 152.24, 148.83, 145.90, 129.54 (2C), 122.28, 120.00, 118.95, 114.22 (2C), 111.21, 110.54, 77.37, 73.41, 56.17, 56.10; HRMS (ESI): Exact mass calcd for C₁₇H₁₈N₂O₃ [M+H]⁺ 299.1390, found 299.1390.



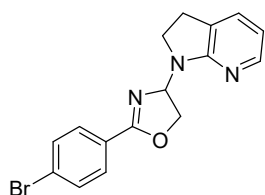
2-(Naphthalen-2-yl)-N-phenyl-4,5-dihydrooxazol-4-amine (3l). Prepared according to the general procedure 2 using *N*-vinyl-2-naphthamide (118 mg, 0.600 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (33.2 mg, 32%). *R*_f = 0.29 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.51 (t, *J* = 1.1 Hz, 1H), 8.07 (dd, *J* = 8.6, 1.7 Hz, 1H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.87 (d, *J* = 8.6 Hz, 2H), 7.55 (dddd, *J* = 14.7, 8.3, 6.9, 1.5 Hz, 2H), 7.29 – 7.20 (m, 2H), 6.87 – 6.75 (m, 3H), 5.90 (dd, *J* = 8.4, 6.2 Hz, 1H), 4.73 (dd, *J* = 9.3, 8.4 Hz, 1H), 4.27 (dd, *J* = 9.3, 6.2 Hz, 1H); ¹³C NMR (101 MHz, CDCl₃) δ 166.12, 145.88, 135.09, 132.75, 129.58 (2C), 129.46, 129.13, 128.32, 127.94, 127.90, 126.76, 125.07, 124.76, 119.07, 114.30 (2C), 77.23, 73.41; HRMS (ESI): Exact mass calcd for C₁₉H₁₆N₂O [M+H]⁺ 289.1335, found 289.1333.



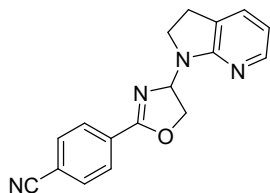
***N*-Phenyl-2-(thiophen-2-yl)-4,5-dihydrooxazol-4-amine (3m).** Prepared according to the general procedure 1 using *N*-vinylthiophene-2-carboxamide (46.0 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (45.4 mg, 62%). *R*_f = 0.22 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.68 (dd, *J* = 3.8, 1.1 Hz, 1H), 7.50 (dd, *J* = 5.0, 1.2 Hz, 1H), 7.28 – 7.17 (m, 2H), 7.10 (ddd, *J* = 4.7, 3.7, 0.8 Hz, 1H), 6.81 (td, *J* = 7.4, 1.1 Hz, 1H), 6.73 (d, *J* = 8.5 Hz, 2H), 5.81 (q, *J* = 7.3 Hz, 1H), 4.65 (ddd, *J* = 9.1, 8.2, 0.8 Hz, 1H), 4.21 (ddd, *J* = 9.2, 6.0, 0.8 Hz, 1H), 4.10 (d, *J* = 7.5 Hz, 1H); ¹³C NMR (101 MHz, CDCl₃) δ 161.75, 145.76, 131.37, 130.79, 129.92, 129.55 (2C), 127.87, 119.07, 114.24 (2C), 77.29, 73.73; HRMS (ESI): Exact mass calcd for C₁₃H₁₂N₂OS [M+H]⁺ 245.0743, found 245.0742.



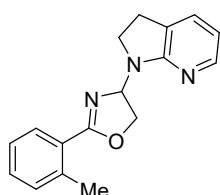
4-(2,3-Dihydro-1*H*-pyrrolo[2,3-*b*]pyridin-1-yl)-2-(4-fluorophenyl)-4,5-dihydrooxazole (7a). Prepared according to the general procedure 1 using 4-fluoro-*N*-vinylbenzamide (49.6 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (65.1 mg, 77%). *R*_f = 0.32 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.03 – 7.97 (m, 2H), 7.91 (ddt, *J* = 5.3, 1.7, 0.9 Hz, 1H), 7.22 (dq, *J* = 7.1, 1.4 Hz, 1H), 7.12 – 7.05 (m, 2H), 6.62 (dd, *J* = 9.2, 5.6 Hz, 1H), 6.52 (dd, *J* = 7.1, 5.3 Hz, 1H), 4.53 (t, *J* = 9.4 Hz, 1H), 4.41 (dd, *J* = 9.6, 5.6 Hz, 1H), 3.48 – 3.40 (m, 1H), 3.40 – 3.34 (m, 1H), 3.00 – 2.93 (m, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 165.09 (t, *J*_{C-F} = 125.95 Hz), 162.17, 146.05, 131.68, 131.08, 130.99, 123.57, 123.53, 123.34, 115.76, 115.54, 113.81, 76.03, 69.45, 43.44, 25.75; HRMS (ESI): Exact mass calcd for C₁₆H₁₄FN₃O [M+H]⁺ 284.1194, found 284.1193.


2-(4-Bromophenyl)-4-(2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl)-4,5-

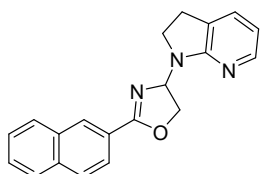
dihydrooxazole (7c). Prepared according to the general procedure 1 using 4-bromo-*N*-vinylbenzamide (67.8 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (70.2 mg, 68%). *R_f* = 0.36 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.91 (ddt, *J* = 5.3, 1.8, 1.0 Hz, 1H), 7.86 (dt, *J* = 8.6, 2.3, 1.9 Hz, 2H), 7.55 (dt, *J* = 8.7, 2.3 Hz, 2H), 7.22 (dq, *J* = 7.1, 1.4 Hz, 1H), 6.61 (dd, *J* = 9.2, 5.7 Hz, 1H), 6.52 (dd, *J* = 7.1, 5.3 Hz, 1H), 4.52 (t, *J* = 9.4 Hz, 1H), 4.41 (dd, *J* = 9.6, 5.7 Hz, 1H), 3.44 (td, *J* = 8.9, 6.1 Hz, 1H), 3.39 – 3.32 (m, 1H), 3.00 – 2.93 (m, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 165.18, 162.13, 146.04, 131.78 (2C), 131.70, 130.24 (2C), 126.66, 126.23, 123.31, 113.86, 76.06, 69.43, 43.46, 25.74; HRMS (ESI): Exact mass calcd for C₁₆H₁₄BrN₃O [M+H]⁺ 344.0393, found 344.0393.


2-(4-Cyanophenyl)-4-(2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl)-4,5-

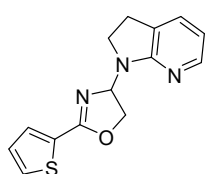
dihydrooxazole (7e). Prepared according to the general procedure 1 using 4-cyano-*N*-vinylbenzamide (51.6 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (47.0 mg, 54%). *R_f* = 0.37 (30% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.10 (dt, *J* = 8.4, 1.7 Hz, 2H), 7.92 (dq, *J* = 5.3, 1.1 Hz, 1H), 7.70 (dt, *J* = 8.7, 1.6 Hz, 2H), 7.24 (dq, *J* = 7.1, 1.4 Hz, 1H), 6.64 (dd, *J* = 9.3, 5.9 Hz, 1H), 6.54 (dd, *J* = 7.1, 5.3 Hz, 1H), 4.57 (t, *J* = 9.5 Hz, 1H), 4.47 (dd, *J* = 9.7, 5.9 Hz, 1H), 3.46 (td, *J* = 8.7, 6.3 Hz, 1H), 3.35 (q, *J* = 9.1 Hz, 1H), 3.03 – 2.93 (m, 2H); ¹³C NMR (101 MHz, CDCl₃) δ 164.32, 162.03, 146.07, 132.26 (2C), 131.80, 131.44, 129.27, 123.26 (2C), 118.28, 115.29, 114.07, 76.26, 69.60, 43.68, 25.77; HRMS (ESI): Exact mass calcd for C₁₇H₁₄N₄O [M+H]⁺ 291.1240, found 291.1239.


4-(2,3-Dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl)-2-(*o*-tolyl)-4,5-dihydrooxazole (7h).

Prepared according to the general procedure 1 using 2-methyl-*N*-vinylbenzamide (48.4 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (55.2 mg, 66%). *R_f* = 0.40 (70% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.91 (ddt, *J* = 5.3, 1.8, 1.0 Hz, 1H), 7.83 (dd, *J* = 7.7, 1.5 Hz, 1H), 7.35 (td, *J* = 7.5, 1.5 Hz, 1H), 7.25 – 7.19 (m, 3H), 6.60 (dd, *J* = 9.2, 5.6 Hz, 1H), 6.51 (dd, *J* = 7.0, 5.3 Hz, 1H), 4.49 (t, *J* = 9.4 Hz, 1H), 4.37 (dd, *J* = 9.6, 5.7 Hz, 1H), 3.49 – 3.42 (m, 2H), 3.02 – 2.94 (m, 2H), 2.58 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 166.78, 162.20, 145.98, 139.12, 131.55, 131.35, 131.00, 130.18, 126.95, 125.69, 123.38, 113.65, 76.49, 68.80, 43.78, 25.80, 21.92; HRMS (ESI): Exact mass calcd for C₁₇H₁₇N₃O [M+H]⁺ 280.1444, found 280.1444.

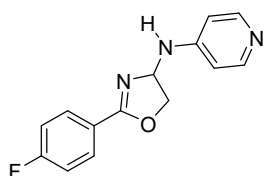

4-(2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl)-2-(naphthalen-2-yl)-4,5-

dihydrooxazole (7l). Prepared according to the general procedure 1 using *N*-vinyl-2-naphthamide (59.2 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (70.0 mg, 74%). *R_f* = 0.40 (50% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.52 (s, 1H), 8.08 (dd, *J* = 8.6, 1.6, 1H), 7.94 (dd, *J* = 5.3, 0.7, 1H), 7.91 (d, *J* = 7.7, 1H), 7.86 (d, *J* = 8.6, 2H), 7.57 – 7.49 (m, 2H), 7.22 (dd, *J* = 7.0, 1.4, 1H), 6.69 (dd, *J* = 9.1, 5.6, 1H), 6.52 (dd, *J* = 7.0, 5.3, 1H), 4.59 (t, *J* = 9.3, 1H), 4.47 (dd, *J* = 9.5, 5.6, 1H), 3.50 – 3.41 (m, 2H), 3.00 – 2.95 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 166.1, 162.2, 146.1, 135.0, 132.8, 131.6, 129.4, 129.1, 128.2, 127.9, 127.8, 126.7, 125.1, 124.6, 123.4, 113.8, 76.1, 69.4, 43.4, 25.8; HRMS (ESI): Exact mass calcd for C₂₀H₁₇N₃O [M+H]⁺ 316.1444, found 316.1445.

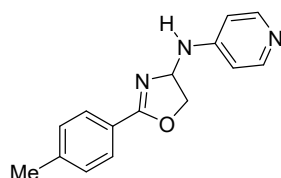

4-(2,3-dihydro-1H-pyrrolo[2,3-b]pyridin-1-yl)-2-(thiophen-2-yl)-4,5-dihydrooxazole

(7m). Prepared according to the general procedure 1 using *N*-vinylthiophene-2-carboxamide

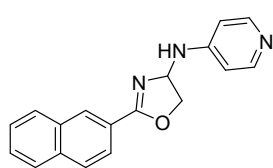
(46.0 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (44.0 mg, 54%). $R_f = 0.30$ (50% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.90 (d, $J = 5.2$, 1H), 7.69 (dd, $J = 3.7$, 1.1, 1H), 7.49 (dd, $J = 5.0$, 1.1, 1H), 7.22 (dd, $J = 7.0$, 1.3, 1H), 7.09 (dd, $J = 5.0$, 3.8, 1H), 6.61 (dd, $J = 9.0$, 5.6, 1H), 6.51 (dd, $J = 7.0$, 5.3, 1H), 4.52 (t, $J = 9.3$, 1H), 4.39 (dd, $J = 9.5$, 5.6, 1H), 3.47 – 3.40 (m, 2H), 3.04 – 2.91 (m, 2H); ¹³C NMR (100 MHz, CDCl₃) δ 162.1, 161.6, 146.0, 131.6, 131.2, 130.6, 129.9, 127.8, 123.3, 113.8, 76.2, 69.7, 43.4, 25.8; HRMS (ESI): Exact mass calcd for C₁₄H₁₃N₃OS [M+H]⁺ 272.0852, found 272.0853.



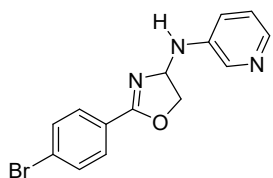
2-(4-Fluorophenyl)-N-(pyridin-4-yl)-4,5-dihydrooxazol-4-amine (8a). Prepared according to the general procedure 1 using 4-fluoro-*N*-vinylbenzamide (49.6 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-5% methanol in dichloromethane) yielded a solid (40.6 mg, 53%). $R_f = 0.32$ (5% MeOH/DCM); ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.27 (s, 1H), 8.17 (dt, $J = 7.6$, 2.9, 1.8 Hz, 2H), 8.10 – 8.01 (m, 2H), 7.41 (tt, $J = 9.0$, 8.9, 2.1 Hz, 2H), 6.84 (dt, $J = 7.6$, 2.9, 1.7 Hz, 2H), 6.60 (dd, $J = 9.0$, 5.2 Hz, 1H), 4.91 (dd, $J = 11.0$, 9.0 Hz, 1H), 4.65 (dd, $J = 11.0$, 5.2 Hz, 1H); ¹³C NMR (101 MHz, DMSO) δ 167.95, 166.25, 163.75, 159.70, 140.77 (2C), 131.77, 131.67, 122.51 (d, $J_{C-F} = 3.0$ Hz), 116.39, 116.16, 109.67 (2C), 86.16, 73.38; HRMS (ESI): Exact mass calcd for C₁₄H₁₂FN₃O [M+H]⁺ 258.1037, found 258.1038.



N-(Pyridin-4-yl)-2-(*p*-tolyl)-4,5-dihydrooxazol-4-amine (8b). Prepared according to the general procedure 1 using 4-methyl-*N*-vinylbenzamide (48.4 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-5% methanol in dichloromethane) yielded a solid (44.4 mg, 58%). $R_f = 0.32$ (5% MeOH/DCM); ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.26 (s, 1H), 8.17 (dt, $J = 7.5$, 2.9, 1.8 Hz, 2H), 7.92 – 7.85 (m, 2H), 7.37 (d, $J = 8.0$ Hz, 2H), 6.85 (dt, $J = 7.5$, 2.8, 1.9 Hz, 2H), 6.60 (dd, $J = 8.9$, 5.1 Hz, 1H), 4.89 (dd, $J = 11.0$, 9.0 Hz, 1H), 4.64 (dd, $J = 10.9$, 5.2 Hz, 1H), 2.39 (s, 3H); ¹³C NMR (101 MHz, DMSO) δ 168.73, 159.57, 143.39, 140.60 (2C), 129.48 (2C), 128.78 (2C), 122.99, 109.57 (2C), 86.06, 73.00, 21.25; HRMS (ESI): Exact mass calcd for C₁₅H₁₅N₃O [M+H]⁺ 254.1288, found 254.1288.

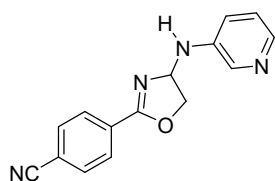


2-(naphthalen-2-yl)-N-(pyridin-4-yl)-4,5-dihydrooxazol-4-amine (8l). Prepared according to the general procedure 1 using *N*-vinyl-2-naphthamide (59.2 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-10% methanol in dichloromethane) yielded a solid (71.0 mg, 82%). $R_f = 0.20$ (5% MeOH/DCM); ¹H NMR (400 MHz, MeOD-*d*₄) δ 8.65 (s, 1H), 8.19 (d, $J = 7.6$, 2H), 8.09 (dd, $J = 8.6$, 1.7, 1H), 8.03 – 7.95 (m, 3H), 7.67 – 7.59 (m, 2H), 6.90 (d, $J = 7.6$, 2H), 6.67 (dd, $J = 9.0$, 5.3, 1H), 5.05 (dd, $J = 11.0$, 9.0, 1H), 4.73 (dd, $J = 11.0$, 5.3, 1H); ¹³C NMR (100 MHz, MeOD-*d*₄) δ 171.9, 161.8, 141.6 (2C), 137.0, 134.0, 131.6, 130.2, 129.8, 129.7, 129.0, 128.2, 125.7, 124.2, 111.0 (2C), 88.2, 74.7; HRMS (ESI): Exact mass calcd for C₁₈H₁₅N₃O [M+H]⁺ 290.1288, found 290.1290.

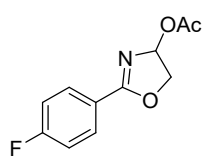


2-(4-Bromophenyl)-N-(pyridin-3-yl)-4,5-dihydrooxazol-4-amine (9c). Prepared according to the general procedure 1 using 4-bromo-*N*-vinylbenzamide (67.8 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-5% methanol in dichloromethane) yielded a solid (65.3 mg, 68%). $R_f = 0.33$ (5% MeOH/DCM); ¹H NMR (400 MHz, DMSO-*d*₆) δ 8.10 (t, $J = 1.8$ Hz, 1H), 7.87 (dd, $J = 3.4$, 2.6 Hz, 1H), 7.81 (dt, $J = 8.7$, 2.5, 2.0 Hz, 2H), 7.68 (dt, $J = 8.8$, 2.3 Hz, 2H), 7.18 – 7.11 (m, 2H), 6.58 (d, $J = 8.7$ Hz, 1H), 5.86 (td, $J = 8.8$, 6.6 Hz, 1H), 4.69 (t, $J = 9.1$ Hz, 1H), 4.20 (dd, $J = 9.3$, 6.6 Hz, 1H); ¹³C NMR (101 MHz, DMSO) δ 163.21, 143.06, 138.35, 136.40, 131.84 (2C), 129.99 (2C), 126.50, 125.61, 123.69, 119.36, 75.52,

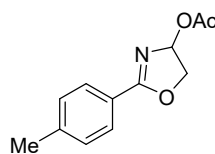
71.67; HRMS (ESI): Exact mass calcd for C₁₄H₁₂BrN₃O [M+H]⁺ 318.0237, found 318.0238.



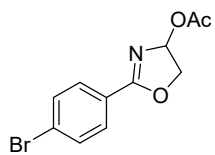
4-(4-(Pyridin-3-ylamino)-4,5-dihydrooxazol-2-yl)benzonitrile (9e). Prepared according to the general procedure 1 using 4-cyano-*N*-vinylbenzamide (51.6 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-5% methanol in dichloromethane) yielded a solid (53.1 mg, 67%). *R_f* = 0.31 (5% MeOH/DCM); ¹H NMR (400 MHz, CDCl₃) δ 8.18 (d, *J* = 2.6 Hz, 1H), 8.13 – 8.05 (m, 3H), 7.72 (dt, *J* = 8.4, 1.7 Hz, 2H), 7.20 – 7.10 (m, 2H), 5.88 (td, *J* = 8.6, 6.5 Hz, 1H), 4.75 (dd, *J* = 9.7, 8.7 Hz, 1H), 4.25 (dd, *J* = 9.7, 6.5 Hz, 1H), 4.18 (d, *J* = 8.6 Hz, 1H); ¹³C NMR (101 MHz, CDCl₃) δ 164.53, 141.75, 140.89, 137.43, 132.35 (2C), 131.41, 129.28 (2C), 124.00, 120.73, 73.13; HRMS (ESI): Exact mass calcd for C₁₅H₁₂N₄O [M+H]⁺ 265.1084, found 265.1086.



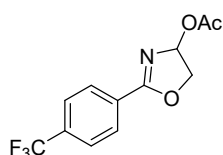
2-(4-Fluorophenyl)-4,5-dihydrooxazol-4-yl acetate (10a). Prepared according to the general procedure 3 using 4-fluoro-*N*-vinylbenzamide (49.6 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (33.4 mg, 50%). *R_f* = 0.42 (90% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.10 – 8.00 (m, 2H), 7.17 – 7.07 (m, 2H), 6.65 (dd, *J* = 7.1, 4.2 Hz, 1H), 4.60 (dd, *J* = 10.8, 7.1 Hz, 1H), 4.35 (dd, *J* = 10.8, 4.2 Hz, 1H), 2.13 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 170.48, 168.45, 165.55 (d, *J*_{C-F} = 253.6 Hz), 131.55, 131.46, 122.97, 122.93, 115.97, 115.75, 92.02, 73.34, 21.19; HRMS (ESI): Exact mass calcd for C₁₁H₁₀FNO₃ [M+H]⁺ 224.0717, found 224.0717.



2-(*p*-Tolyl)-4,5-dihydrooxazol-4-yl acetate (10b). Prepared according to the general procedure 3 using 4-methyl-*N*-vinylbenzamide (48.4 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (30.6 mg, 46%). *R_f* = 0.44 (90% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.92 (d, *J* = 8.2 Hz, 2H), 7.24 (dd, *J* = 8.0, 0.7 Hz, 2H), 6.65 (dd, *J* = 7.1, 4.1 Hz, 1H), 4.58 (dd, *J* = 10.8, 7.1 Hz, 1H), 4.33 (dd, *J* = 10.8, 4.2 Hz, 1H), 2.41 (s, 3H), 2.12 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 170.52, 169.47, 143.21, 129.30 (2C), 129.03 (2C), 123.84, 92.13, 73.08, 21.78, 21.19; HRMS (ESI): Exact mass calcd for C₁₂H₁₃NO₃ [M+H]⁺ 220.0968, found 220.0968.

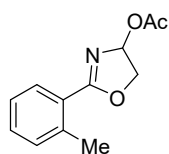


2-(4-Bromophenyl)-4,5-dihydrooxazol-4-yl acetate (10c). Prepared according to the general procedure 3 using 4-bromo-*N*-vinylbenzamide (67.8 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (42.8 mg, 50%). *R_f* = 0.42 (90% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.90 (dt, *J* = 8.7, 2.3, 1.9 Hz, 2H), 7.59 (dt, *J* = 8.7, 2.3, 1.9 Hz, 2H), 6.65 (dd, *J* = 7.2, 4.3 Hz, 1H), 4.60 (dd, *J* = 10.8, 7.2 Hz, 1H), 4.35 (dd, *J* = 10.8, 4.3 Hz, 1H), 2.13 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 170.44, 168.57, 131.97 (2C), 130.57 (2C), 127.58, 125.63, 91.94, 73.33, 21.18; HRMS (ESI): Exact mass calcd for C₁₁H₁₀BrNO₃ [M+H]⁺ 283.9917, found 283.9916.

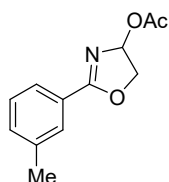


2-(4-(Trifluoromethyl)phenyl)-4,5-dihydrooxazol-4-yl acetate (10d). Prepared according to the general procedure 3 using 4-(trifluoromethyl)-*N*-vinylbenzamide (129 mg, 0.600 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (44.1 mg, 45%). *R_f* = 0.37 (90% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.16 (dt, *J* = 8.1, 0.8, 0.7 Hz, 2H), 7.71 (dt, *J* = 8.1, 0.7 Hz, 2H), 6.69 (dd, *J* = 7.2, 4.3 Hz, 1H), 4.64 (dd, *J* = 10.8, 7.2 Hz, 1H), 4.39 (dd, *J* = 10.8, 4.3 Hz, 1H), 2.14 (s, 3H); ¹³C NMR (101 MHz,

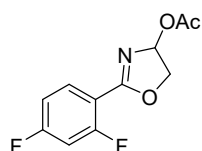
CDCl₃) δ 170.24, 167.89, 134.03 (d, J_{C-F} = 32.7 Hz), 129.92, 129.35 (2C), 125.49 (q, J_{C-F} = 3.8 Hz), 124.98, 91.66, 77.33, 77.22, 77.02, 76.70, 73.26, 21.02; HRMS (ESI): Exact mass calcd for C₁₂H₁₀F₃NO₃ [M+H]⁺ 274.0686, found 274.0684.



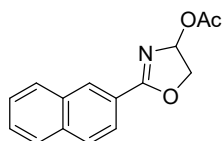
2-(*o*-Tolyl)-4,5-dihydrooxazol-4-yl acetate (10g). Prepared according to the general procedure 4 using 2-methyl-*N*-vinylbenzamide (96.8 mg, 0.600 mmol over 18 hours). Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (24.8 mg, 31%). R_f = 0.33 (90% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.90 (dd, J = 7.8, 1.5 Hz, 1H), 7.38 (td, J = 7.5, 1.5 Hz, 1H), 7.27 – 7.21 (m, 2H), 6.68 (dd, J = 7.2, 4.2 Hz, 1H), 4.54 (dd, J = 10.8, 7.2 Hz, 1H), 4.30 (dd, J = 10.8, 4.2 Hz, 1H), 2.63 (s, 3H), 2.13 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 170.51, 170.02, 139.81, 131.74, 131.62, 130.58, 125.98, 125.81, 92.35, 77.35, 72.36, 22.14, 21.24; HRMS (ESI): Exact mass calcd for C₁₂H₁₃NO₃ [M+H]⁺ 220.0968, found 220.0968.



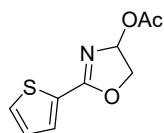
2-(*m*-Tolyl)-4,5-dihydrooxazol-4-yl acetate (10i). Prepared according to the general procedure 3 using 3-methyl-*N*-vinylbenzamide (48.4 mg, 0.300 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (32.4 mg, 50%). R_f = 0.42 (10% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.89 (tt, J = 1.7, 0.8 Hz, 1H), 7.85 – 7.78 (m, 1H), 7.37 – 7.29 (m, 2H), 6.66 (dd, J = 7.1, 4.2 Hz, 1H), 4.59 (dd, J = 10.8, 7.1 Hz, 1H), 4.35 (dd, J = 10.8, 4.2 Hz, 1H), 2.39 (d, J = 0.6 Hz, 3H), 2.12 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 170.55, 169.61, 138.45, 133.45, 129.70, 128.53, 126.55, 126.16, 92.07, 73.16, 21.38, 21.24; HRMS (ESI): Exact mass calcd for C₁₂H₁₃NO₃ [M+H]⁺ 220.0968, found 220.0968.



2-(2,4-Difluorophenyl)-4,5-dihydrooxazol-4-yl acetate (10j). Prepared according to the general procedure 3 using 2,4-difluoro-*N*-vinylbenzamide (124 mg, 0.600 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (24.5 mg, 26%). R_f = 0.39 (10% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.07 – 7.95 (m, 1H), 7.00 – 6.85 (m, 2H), 6.67 (dd, J = 7.2, 4.2 Hz, 1H), 4.58 (dd, J = 10.9, 7.2 Hz, 1H), 4.33 (dd, J = 10.8, 4.3 Hz, 1H), 2.12 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 170.42, 133.43, 133.32, 112.09, 111.87, 105.67, 105.41, 105.16, 91.68, 72.94, 21.16; HRMS (ESI): Exact mass calcd for C₁₁H₉F₂NO₃ [M+H]⁺ 242.0623, found 242.0621.



2-(Naphthalen-2-yl)-4,5-dihydrooxazol-4-yl acetate (10l). Prepared according to the general procedure 3 using *N*-vinyl-2-naphthamide (118 mg, 0.600 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (36.0 mg, 39%). R_f = 0.41 (10% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 8.58 (s, 1H), 8.10 (dd, J = 8.7, 1.7 Hz, 1H), 7.96 – 7.85 (m, 3H), 7.62 – 7.51 (m, 2H), 6.73 (dd, J = 7.1, 4.2 Hz, 1H), 4.67 (dd, J = 10.8, 7.1 Hz, 1H), 4.42 (dd, J = 10.7, 4.2 Hz, 1H), 2.15 (s, 3H); ¹³C NMR (101 MHz, CDCl₃) δ 170.55, 169.51, 135.40, 132.69, 130.20, 129.27, 128.45, 128.26, 127.98, 126.90, 125.07, 123.97, 92.14, 73.29, 21.24; HRMS (ESI): Exact mass calcd for C₁₅H₁₃NO₃ [M+H]⁺ 256.0968, found 256.0966.



2-(Thiophen-2-yl)-4,5-dihydrooxazol-4-yl acetate (10m). Prepared according to the general procedure 3 using *N*-vinylthiophene-2-carboxamide (92.0 mg, 0.600 mmol) over 18 hours. Flash column chromatography (SiO₂, 0-30% ethyl acetate in hexanes) yielded a solid (22.2 mg, 29%). R_f = 0.48 (10% EtOAc/hexanes); ¹H NMR (400 MHz, CDCl₃) δ 7.57 (dd, J = 3.8, 1.2 Hz, 1H), 7.54 (dd, J = 5.0, 1.2 Hz, 1H), 7.21 – 7.07 (m, 2H), 4.75 (dd, J = 15.8, 1.0 Hz, 1H), 4.53 (d, J = 8.8 Hz, 1H),

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Supporting Information

1.56 (s, 3H); ^{13}C NMR (101 MHz, CDCl_3) δ 176.49, 158.32, 138.20, 129.99, 128.49, 128.41, 128.09, 127.99, 20.91; HRMS was not detected by EI and FAB.