Supplementary Information

Structure-activity relationships of 2-aminothiazoles effective against *Mycobacterium tuberculosis*

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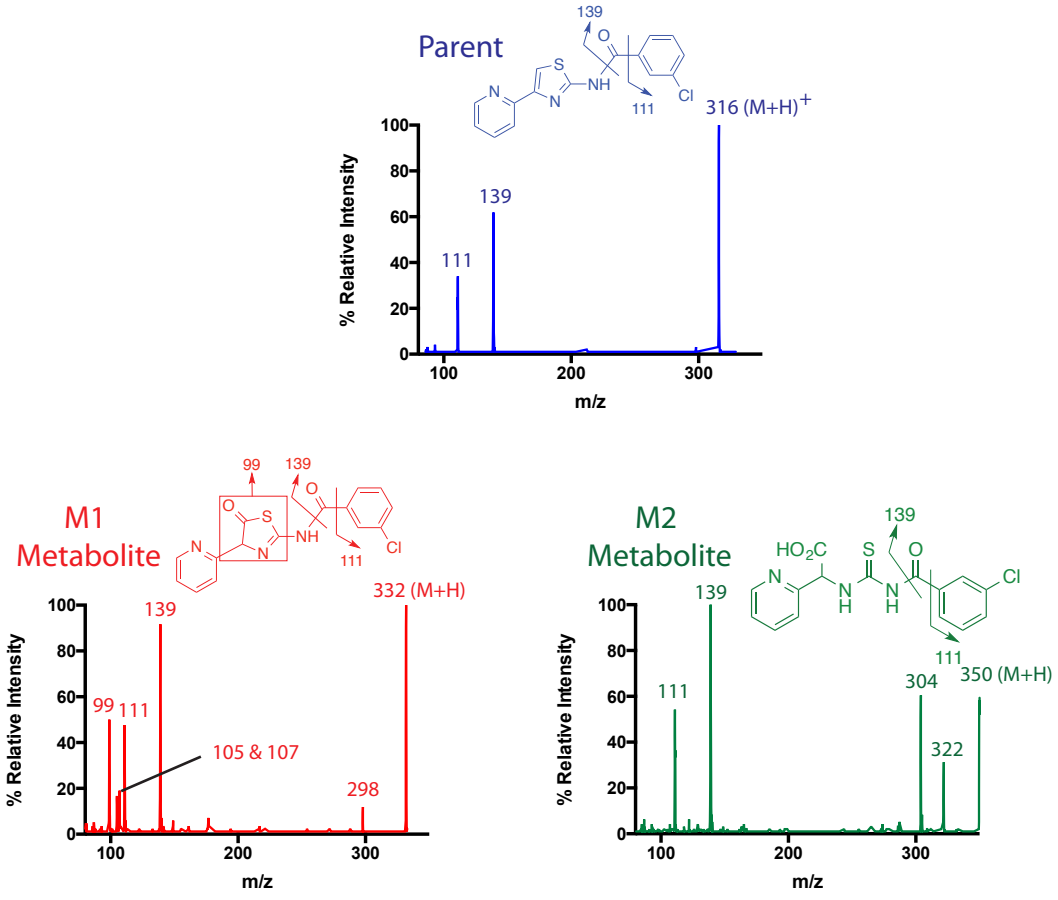

Figure S1. MS/MS of parent aminothiazole **55** and proposed Phase I metabolites.

Experimentals

***N*-(2-Methylphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (2)**
Synthesized from 0.2 g 2-methylphenylthiourea using general procedure **A**, yielding 0.29 g (90%) of a white colored powder. R_f 0.47 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.35 (s, 3H), 7.09 (t, *J* = 7.2 Hz, 1H), 7.24 (d, *J* = 8.4 Hz, 1H), 7.26 (t, *J* = 7.2 Hz, 1H), 7.31 (m, 1H), 7.38 (s, 1H), 7.81 (d, *J* = 7.8 Hz, 1H), 7.86 (m, 1H), 8.01 (d, *J* = 7.8 Hz, 1H), 8.52 (d, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 17.8, 106.3, 120.6, 120.8, 122.4, 124.6, 127.2, 129.2, 131.1, 136.8, 138.7, 149.4, 151.1, 152.6, 166.4; HRMS (ESI+) calcd for $C_{15}H_{14}N_3S$ $[M + H]^+$ 268.0903, found 268.0905 (error 0.7 ppm).

***N*-(3-Methylphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (3)**
Synthesized from 0.2 g 3-methylphenylthiourea using general procedure **A**, yielding 0.28 g (88%) of a white colored powder. R_f 0.53 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.37 (s, 3H), 6.85 (d, *J* = 7.2 Hz, 1H), 7.23 (t, *J* = 7.8 Hz, 1H), 7.33 (ddd, *J* = 7.2, 4.8, 1.2 Hz, 1H), 7.43 (s, 1H), 7.45 (s, 1H), 7.53 (d, *J* = 7.8 Hz, 1H), 7.90 (td, *J* = 7.8, 1.8 Hz, 1H), 8.10 (d, *J* = 7.8 Hz, 1H), 8.54 (d, *J* = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, CD_3OD) δ 21.9, 107.5, 115.9, 119.3, 122.8, 123.9, 124.0, 130.1, 139.1, 140.1, 142.7, 150.1, 151.8, 154.2, 166.1; HRMS (ESI+) calcd for $C_{15}H_{14}N_3S$ $[M + H]^+$ 268.0903, found 268.0909 (error 2.2 ppm).

***N*-(4-Methylphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (4)**
Synthesized from 0.2 g 4-methylphenylthiourea using general procedure **A**, yielding 0.29 g (90%) of a white colored powder. R_f 0.52 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.34 (s, 3H), 7.18 (d, *J* = 8.4 Hz, 2H), 7.19-7.21 (m, 1H), 7.25 (bs, 1H), 7.29 (d, *J* = 7.8 Hz, 2H), 7.39 (s, 1H), 7.74 (t, *J* = 7.8 Hz, 1H), 7.97 (d, *J* = 7.8 Hz, 1H), 8.60 (d, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 20.8, 106.1, 118.9, 120.9, 122.5, 130.0, 133.1, 136.9, 137.7, 149.4, 151.0, 152.6, 165.3; HRMS (ESI+) calcd for $C_{15}H_{14}N_3S$ $[M + H]^+$ 268.0903, found 268.0909 (error 2.2 ppm).

***N*-(2,3-Dimethylphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (5)**
Synthesized from 0.2 g 2,3-dimethylphenylthiourea using general procedure **A**, yielding 0.27 g (87%) of a white colored powder. R_f 0.45 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.23 (s, 3H), 2.33 (s, 3H), 7.05 (d, *J* = 7.2 Hz, 1H), 7.19-7.15 (m, 3H), 7.31 (s, 1H), 7.45 (t, *J* = 8.4 Hz, 1H), 7.70 (t, *J* = 7.8 Hz, 1H), 7.91 (d, *J* = 7.8 Hz, 1H), 8.59 (d, *J* = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 13.7, 20.6, 106.1, 120.5, 120.7, 122.3, 126.4, 127.3, 129.9, 136.7, 138.3, 138.6, 149.4, 151.2, 152.7, 168.0; HRMS (ESI+) calcd for $C_{16}H_{16}N_3S$ $[M + H]^+$ 282.1059, found 282.1061 (error 0.7 ppm).

***N*-(2,4-Dimethylphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (6)**
Synthesized from 0.2 g 2,4-dimethylphenylthiourea using general procedure **A**, yielding 0.28 g (90%) of a white colored powder. R_f 0.34 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.29 (s, 3H), 2.33 (s, 3H), 7.07 (d, *J* = 6.6 Hz, 2H), 7.08 (br s, 1H), 7.18 (t, *J* = 6.0 Hz, 1H), 7.31 (s, 1H), 7.49 (d, *J* = 8.4 Hz, 1H), 7.70 (t, *J* = 7.8 Hz, 1H), 7.92 (d, *J* = 7.8 Hz, 1H), 8.59 (d, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 17.7, 20.9, 106.1, 120.7, 122.0, 122.4, 127.7, 130.4, 131.9, 135.0, 136.1, 136.7, 149.4, 151.2, 152.7, 167.6; HRMS (ESI+) calcd for $C_{16}H_{16}N_3S$ $[M + H]^+$ 282.1059, found 282.1050 (error 3.2 ppm).

***N*-(2,6-Dimethylphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (7)**
Synthesized from 0.2 g 2,6-dimethylphenylthiourea using general procedure **A**, yielding 0.26 g (84%) of a white colored powder. R_f 0.39 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.32 (s, 6H), 7.12 (dd, *J* = 7.6, 4.7 Hz, 1H), 7.19-7.14 (m, 3H), 7.20 (s, 1H), 7.57 (t, *J* = 7.2 Hz, 1H), 7.58 (br s, 1H), 7.79 (d, *J* = 7.8 Hz, 1H), 8.51 (d, *J* = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 18.2, 105.9, 120.5, 122.2, 128.0, 128.9, 136.5, 137.1, 137.4, 149.4, 151.4, 152.6, 170.4; HRMS (ESI+) calcd for $C_{16}H_{16}N_3S$ $[M + H]^+$ 282.1059, found 282.1056 (error 1.1 ppm).

***N*-(2,4-Dimethoxyphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (8)**
Synthesized from 0.2 g 2,4-dimethoxyphenylthiourea using general procedure **A**, yielding 0.28 g (90%) of a white colored powder. R_f 0.43 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 3.82 (s, 3H), 3.87 (s, 3H), 6.56-6.53 (m, 2H), 7.19 (ddd, *J* = 7.8, 4.8, 1.2 Hz, 1H), 7.38 (s, 1H), 7.49 (br s, 1H), 7.74 (td, *J* = 7.8, 1.8 Hz, 1H), 7.93 (d, *J* = 8.4 Hz, 1H), 8.02 (d, *J* = 7.8 Hz, 1H), 8.60 (d, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 55.6, 55.7, 99.1, 103.8, 105.8, 118.5, 121.0, 122.3, 123.7, 136.8, 149.3, 149.5, 151.1, 152.7, 155.8, 165.1; HRMS (ESI+) calcd for $C_{16}H_{16}N_3O_2S$ $[M + H]^+$ 314.0958, found 314.0957 (error 0.3 ppm).

***N*-(2,5-Dimethoxyphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (9)**
Synthesized from 0.2 g 2,5-dimethoxyphenylthiourea using general procedure **A**, yielding 0.25 g (86%) of a white colored powder. R_f 0.55 (DCM:MeOH 9:1); ^{1}H (600 MHz, $CDCl_3$) δ 3.83 (s, 3H), 3.88 (s, 3H), 6.54 (dd, *J* = 8.8, 2.9 Hz, 1H), 6.92 (d, *J* = 8.8 Hz, 1H), 7.33 (ddd, *J* = 7.5, 4.8, 1.2 Hz, 1H), 7.48 (s, 1H), 7.91 (td, *J* = 7.8, 1.8 Hz, 1H), 8.11 (d, *J* = 7.9 Hz, 1H), 8.24 (d, *J* = 3.2 Hz, 1H), 8.54 (dt, *J* = 4.0, 0.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 55.7, 56.3, 103.6, 105.5, 106.5, 110.8, 121.0, 122.4, 130.7, 136.9, 141.6, 149.4, 151.2, 152.7, 154.1, 163.1; HRMS (ESI+) calcd for $C_{16}H_{16}N_3O_2S$ $[M + H]^+$ 314.0958, found 314.0950 (error 2.5 ppm).

***N*-(2-Fluorophenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (10)**
Synthesized from 0.2 g 2-fluorophenylthiourea using general procedure **A**, yielding 0.30 g (94%) of a white colored powder. R_f 0.55 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.00 (td, *J* = 6.6, 6.0 Hz, 1H), 7.13 (dd, *J* = 7.8, 10.8 Hz, 1H), 7.20 (d, *J* = 7.8 Hz, 1H), 7.22 (d, *J* = 5.4 Hz, 1H), 7.39 (br s, 1H), 7.50 (s, 1H), 7.77 (td, *J* = 7.8, 1.2 Hz, 1H), 8.04 (d, *J* = 7.8 Hz, 1H), 8.21 (td, *J* = 7.8, 1.2 Hz, 1H), 8.61 (d, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 107.1, 115.1 (d, *J* = 19 Hz), 118.3, 121.0, 122.5, 122.6 (d, *J* = 9.6 Hz), 124.7 (d, *J* = 3.9 Hz), 128.8, 136.9, 149.4, 151.3, 151.8 (d, *J* = 241 Hz), 152.5, 163.0; HRMS (ESI+) calcd for $C_{14}H_{11}FN_3S$ $[M + H]^+$ 272.0652, found 272.0658 (error 2.2 ppm).

***N*-(3-Fluorophenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (11)**
Synthesized from 0.2 g 3-fluorophenylthiourea using general procedure **A**, yielding 0.28 g (88%) of a white colored powder. R_f 0.56 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.75 (td, *J* = 8.4, 2.4 Hz, 1H), 7.09 (dd, *J* = 7.8, 1.8 Hz, 1H), 7.22 (dd, *J* = 6.6, 5.4 Hz, 1H), 7.28 (dd, *J* = 15, 8.4 Hz, 1H), 7.42 (dt, *J* = 10.8, 2.4 Hz, 1H), 7.48 (s, 1H), 7.65 (br s, 1H), 7.77 (td, *J* = 7.8, 1.2 Hz, 1H), 8.02 (d, *J* = 8.4 Hz, 1H), 8.61 (d, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 105.0 (d, *J* = 26.3 Hz), 106.9, 109.3 (d, *J* = 21.2 Hz), 113.1 (d, *J* = 2.9 Hz), 121.1, 122.7, 130.5 (d, *J* = 9.5 Hz), 137.0, 141.8 (d, *J* = 10.7 Hz), 149.4, 151.2, 152.4, 163.36, 163.45 (d, *J* = 243 Hz); HRMS (ESI+) calcd for $C_{14}H_{11}FN_3S$ $[M + H]^+$ 272.0652, found 272.0653 (error 0.4 ppm).

***N*-(4-Fluorophenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (12)**
Synthesized from 0.2 g 4-fluorophenylthiourea using general procedure **A**, yielding 0.28 g (88%) of a white colored powder. R_f 0.46 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.05 (t, *J* = 8.4 Hz, 1H), 7.20 (dd, *J* = 7.2, 4.8 Hz, 2H), 7.39 (s, 1H), 7.41-7.39 (m, 2H), 7.67 (br s, 1H), 7.72 (t, *J* = 7.8 Hz, 1H), 7.97 (d, *J* = 7.8 Hz, 1H), 8.59 (d, *J* = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 106.2, 116.1 (d, *J* = 22.8 Hz), 120.7 (d, *J* = 7.8 Hz), 121.7 (d, *J* = 240 Hz), 136.5 (d, *J* = 2.9 Hz), 136.9, 149.4, 151.1, 152.5, 158.1, 159.7, 165.3; HRMS (ESI+) calcd for $C_{14}H_{11}FN_3S$ $[M + H]^+$ 272.0652, found 272.0651 (error 0.4 ppm).

***N*-(2-Trifluoromethy1phenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (13)**
Synthesized from 0.2 g 2-Trifluoromethylphenylthiourea using general procedure **A**, yielding 0.25 g (86%) of a white colored powder. R_f 0.54 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.18 (t, *J* = 7.8 Hz, 1H), 7.21 (dd, *J* = 7.2, 4.8 Hz, 1H), 7.39 (s, 1H), 7.50 (s, 1H), 7.59 (t, *J* = 7.8 Hz, 1H), 7.65 (d, *J* = 7.2 Hz, 1H), 7.76 (td, *J* = 7.8, 1.2 Hz, 1H), 8.00 (d, *J* = 7.8 Hz, 1H), 8.18 (d, *J* = 7.8 Hz, 1H), 8.61 (d, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 107.6, 118.8 (q, *J* = 29.4 Hz), 120.4, 121.0, 122.6, 122.8, 124.2 (q, *J* = 271 Hz), 126.7 (q, *J* = 5.4 Hz), 133.2 (q, *J* = 1.1 Hz), 136.9, 138.5, 149.4, 151.4, 152.4, 163.7; HRMS (ESI+) calcd for $C_{15}H_{11}F_3N_3S$ $[M + H]^+$ 322.0620, found 322.0618 (error 0.6 ppm).

***N*-(3-Trifluoromethy1phenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (14)**
Synthesized from 0.2 g 3-trifluoromethylphenylthiourea using general procedure **A**, yielding 0.26 g (89%) of a white colored powder. R_f 0.57 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.22 (dd, *J* = 6.6, 5.4 Hz, 1H), 7.28 (d, *J* = 7.8 Hz, 1H), 7.43 (t, *J* = 8.1 Hz, 1H), 7.48 (s, 1H), 7.61 (d, *J* = 7.8 Hz, 1H), 7.77 (td, *J* = 7.8, 1.2 Hz, 1H), 7.90 (s, 1H), 8.01 (s, 1H), 8.01 (d, *J* = 7.8 Hz, 1H), 8.60 (d, *J* = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 107.1, 114.5 (q, *J* = 3.9 Hz), 119.0 (q, *J* = 3.9 Hz), 120.5, 121.1, 122.7, 123.9 (q, *J* = 271 Hz), 129.8, 131.7 (q, *J* = 31.8 Hz), 137.1, 140.8, 149.3, 151.1, 152.3, 163.3; HRMS (ESI+) calcd for $C_{15}H_{11}F_3N_3S$ $[M + H]^+$ 322.0620, found 322.0624 (error 1.2 ppm).

***N*-(4-Trifluoromethy1phenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (15)**
Synthesized from 0.2 g 4-trifluoromethylphenylthiourea using general procedure **A**, yielding 0.25 g (86%) of a white colored powder. R_f 0.62 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.21 (dd, *J* = 6.6, 5.4 Hz, 1H), 7.45 (s, 1H), 7.56 (d, *J* = 8.4 Hz, 2H), 7.64 (d, *J* = 9.0 Hz, 2H), 7.77 (t, *J* = 7.8 Hz, 1H), 8.01 (d, *J* = 8.4 Hz, 1H), 8.54 (d, *J* = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 107.3, 116.6, 121.2, 122.7, 123.6 (q, *J* = 38.9 Hz), 124.4 (q, *J* = 270 Hz), 126.5 (q, *J* = 3.7 Hz), 137.3, 143.5, 149.1, 150.8, 152.3, 163.0; HRMS (ESI+) calcd for $C_{15}H_{11}F_3N_3S$ $[M + H]^+$ 322.0620, found 322.0622 (error 0.6 ppm).

***N*-(2-Hydroxyphenyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (16)**
Synthesized from 0.2 g 2-hydroxyphenylthiourea using general procedure **A**, yielding 0.26 g (81%) of a white colored powder. R_f 0.17 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.85 (td, *J* = 7.5, 1.8 Hz, 1H), 6.94-6.90 (m, 2H), 7.18 (dd, *J* = 7.2, 5.4 Hz, 1H), 7.33 (s, 1H), 7.54 (d, *J* = 8.4 Hz, 1H), 7.74 (td, *J* = 7.8, 1.8 Hz, 1H), 7.90 (d, *J* = 7.8 Hz, 1H), 8.48 (d, *J* = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 106.6, 116.9, 119.3, 120.3, 121.2, 122.7, 124.0, 128.9,

137.5, 146.7, 148.9, 149.7, 152.0, 165.7; HRMS (ESI+) calcd for $C_{14}H_{12}N_3OS$ $[M + H]^+$ 270.0696, found 270.0698 (error 0.7 ppm).

***N*-(Pyridyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (17)**
Synthesized from 0.2 g 2-pyridylthiourea using general procedure **A**, yielding 0.30 g (91%) of a white colored powder. R_f 0.08 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.81 (d, J = 8.4 Hz, 1H), 6.84 (t, J = 6.0 Hz, 1H), 7.18 (dd, J = 7.2, 4.8 Hz, 1H), 7.52 (s, 1H), 7.53 (t, J = 7.8 Hz, 1H), 7.71 (td, J = 7.8, 1.8 Hz, 1H), 7.91 (d, J = 8.4 Hz, 1H), 8.32 (d, J = 4.2 Hz, 1H), 8.57 (d, J = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 110.0, 110.5, 116.3, 120.7, 122.4, 137.0, 137.7, 146.8, 148.9, 149.3, 151.4, 152.6, 160.9; HRMS (ESI+) calcd for $C_{13}H_{11}N_4S$ $[M + H]^+$ 255.0699, found 255.0698 (error 0.4 ppm).

***N*-(5-Chloropyridyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (18)**
Synthesized from 0.2 g 5-chloro-2-pyridylthiourea using general procedure **A**, yielding 0.27 g (87%) of a white colored powder. R_f 0.20 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.83 (d, J = 9.0 Hz, 1H), 7.18 (ddd, J = 7.8, 4.8, 1.2 Hz, 1H), 7.45 (s, 1H), 7.49 (dd, J = 8.4, 2.4 Hz, 1H), 7.71 (td, J = 7.8, 1.8 Hz, 1H), 7.85 (d, J = 8.4 Hz, 1H), 8.24 (d, J = 3.0 Hz, 1H), 8.53 (d, J = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 110.1, 111.4, 120.7, 122.5, 123.3, 137.1, 137.6, 145.0, 148.7, 149.0, 149.9, 152.4, 160.7; HRMS (ESI+) calcd for $C_{13}H_{10}ClN_4S$ $[M + H]^+$ 289.0309, found 289.0301 (error 2.8 ppm).

***N*-(6-Methyl-2-pyridyl)-4-(2-pyridinyl)-1,3-thiazol-2-amine (19)**
Synthesized from 0.2 g 6-methyl-2-pyridylthiourea using general procedure **A**, yielding 0.27 g (84%) of a white colored powder. R_f 0.24 (DCM:MeOH 9:1); ^{1}H (600 MHz, $CDCl_3$) δ 2.51 (s, 3H), 6.64 (d, J = 7.8 Hz, 1H), 6.69 (d, J = 7.2 Hz, 1H), 7.18 (dd, J = 7.8, 4.8 Hz, 1H), 7.43 (t, J = 7.8 Hz, 1H), 7.47 (s, 1H), 7.71 (td, J = 7.5, 1.2 Hz, 1H), 7.88 (d, J = 7.8 Hz, 1H), 8.54 (d, J = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 23.5, 107.1, 110.1, 115.5, 120.7, 122.4, 137.2, 138.0, 148.4, 149.1, 150.7, 152.6, 156.1, 161.0; HRMS (ESI+) calcd for $C_{14}H_{13}N_4S$ $[M + H]^+$ 269.0855, found 269.0853 (error 0.7 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)hexanamide (22)**
Synthesized from 50 mg hexanoic acid using general procedure **B** to obtain 85 mg (71%) of a white colored powder. R_f 0.52 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 0.81 (t, J = 7.2 Hz, 3H), 1.11 (quin, J = 7.2 Hz, 2H), 1.18 (quin, J = 7.2 Hz, 2H), 1.57 (quin, J = 7.8 Hz, 2H), 2.20 (t, J = 7.5 Hz, 2H), 7.22 (dd, J = 7.2, 4.8 Hz, 1H), 7.70 (s, 1H), 7.73 (td, J = 7.5, 1.2 Hz, 1H), 7.90 (d, J = 7.8 Hz, 1H), 8.64 (d, J = 4.2 Hz, 1H), 10.50 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 13.8, 22.2, 24.5, 31.1, 36.1, 112.0, 120.5, 122.7, 136.9, 149.3, 149.7, 152.2, 158.9, 171.3; HRMS (ESI+) calcd for $C_{14}H_{18}N_3OS$ $[M + H]^+$ 276.1165, found 276.1168 (error 1.1 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)octanamide (23)**
Synthesized from 50 mg octanoic acid using general procedure **B** to obtain 90 mg (86%) of a white colored powder. R_f 0.56 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 0.83 (t, J = 7.5 Hz, 3H), 1.16-1.07 (m, 6H), 1.21 (quin, J = 7.2 Hz, 2H), 1.53 (quin, J = 7.2 Hz, 2H), 2.16 (t, J = 7.8 Hz, 2H), 7.21 (dd, J = 7.2, 4.8 Hz, 1H), 7.70 (s, 1H), 7.72 (t, J = 7.2 Hz, 1H), 7.89 (d, J = 8.4 Hz, 1H), 8.63 (d, J = 4.2 Hz, 1H), 10.78 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 14.0, 22.5, 24.9,

28.7, 28.9, 31.5, 36.1, 112.0, 120.5, 122.7, 136.9, 149.2, 149.7, 152.2, 159.2, 171.4; HRMS (ESI+) calcd for $C_{16}H_{22}N_3OS$ $[M + H]^+$ 304.1478, found 304.1480 (error 0.7 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)decanamide (24)**
Synthesized from 50 mg decanoic acid using general procedure **B** to obtain 81 mg (84%) of a white colored powder. R_f 0.60 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 0.85 (t, J = 7.2 Hz, 3H), 1.26-1.07 (m, 12H), 1.52 (quin, J = 7.2 Hz, 2H), 2.15 (t, J = 7.8 Hz, 2H), 7.21 (dd, J = 7.2, 5.4 Hz, 1H), 7.70 (s, 1H), 7.72 (td, J = 7.8, 1.2 Hz, 1H), 7.89 (d, J = 7.8 Hz, 1H), 8.63 (d, J = 4.2 Hz, 1H), 10.82 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 14.0, 22.6, 24.9, 29.0, 29.10, 29.14, 29.3, 31.8, 36.1, 112.0, 120.5, 122.7, 136.8, 149.2, 149.7, 152.2, 159.2, 171.4; HRMS (ESI-) calcd for $C_{18}H_{26}N_3OS$ $[M + H]^-$ 332.1791, found 332.1783 (error 2.4 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)cyclopropanecarboxamide (25)**
Synthesized from 50 mg cyclopropanoic acid using general procedure **B** to obtain 90 mg (52%) of a white colored powder. R_f 0.49 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 0.99-0.96 (m, 2H), 1.06-1.03 (m, 2H), 1.88 (tt, J = 7.9, 4.6 Hz, 1H), 7.32 (ddd, J = 7.4, 4.9, 1.2 Hz, 1H), 7.71 (s, 1H), 7.86 (td, J = 7.8, 1.8 Hz, 1H), 8.07 (dt, J = 7.9, 1.0 Hz, 1H), 8.54 (ddd, J = 4.8, 1.7, 0.9 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 9.2, 15.0, 112.8, 122.6, 124.2, 139.0, 150.2, 150.5, 154.0, 160.0, 174.6; HRMS (ESI+) calcd for $C_{12}H_{12}N_3OS$ $[M + H]^+$ 246.0696, found 246.0698 (error 0.8 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)cyclobutanecarboxamide (26)**
Synthesized from 50 mg cyclobutanoic acid using general procedure **B** to obtain 68 mg (54%) of a white colored powder. R_f 0.51 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, CD_3OD) δ 1.95-1.90 (m, 1H), 2.08-2.02 (m, 1H), 2.27-2.21 (m, 2H), 2.36 (quin d, J = 9.0, 2.4 Hz, 2H), 3.36 (quin, J = 8.4 Hz, 1H), 7.28 (dd, J = 7.8, 4.8 Hz, 1H), 7.70 (s, 1H), 7.82 (td , J = 7.8, 1.2 Hz, 1H), 8.02 (d, J = 7.8 Hz, 1H), 8.51 (d, J = 5.4 Hz, 1H); ^{13}C NMR (150 MHz, CD_3OD) δ 19.2, 26.1, 40.5, 112.9, 122.5, 124.1, 138.9, 150.1, 150.4, 153.9, 159.9, 175.5; HRMS (ESI+) calcd for $C_{13}H_{14}N_3OS$ $[M + H]^+$ 260.0852, found 260.0850 (error 0.8 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)cyclopentanecarboxamide (27)**
Synthesized from 50 mg cyclopentanoic acid using general procedure **B** to obtain 113 mg (95%) of a white colored powder. R_f 0.54 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, CD_3OD + $(CD_3)_2CO$) δ 1.66 (m, 2H), 1.78 (m, 2H), 1.86 (m, 2H), 1.97 (m, 2H), 2.94 (q, J = 8.0 Hz, 1H), 7.73 (s, 1H), 7.32-7.30 (m, 1H), 7.86 (td, J = 7.8, 1.8 Hz, 1H), 8.06 (d, J = 7.8 Hz, 1H), 8.54 (m, 1H); ^{13}C NMR (150 MHz, $CDCl_3$ + $(CD_3)_2CO$) δ 27.2, 31.5, 46.2, 112.9, 122.5, 124.2, 138.9, 150.2, 150.5, 154.0, 160.0, 177.0; HRMS (ESI+) calcd for $C_{14}H_{16}N_3OS$ $[M + H]^+$ 274.1009, found 274.1010 (error 0.4 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)cyclohexanecarboxamide (28)**
Synthesized from 50 mg cyclohexanoic acid using general procedure **B** to obtain 92 mg (82%) of a white colored powder. R_f 0.56 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $(CD_3)_2CO$) δ 1.27 (m, 3H), 1.51-1.58 (m, 2H), 1.66 (m, 1H), 1.77 (m, 2H), 1.92 (d, J = 12.9 Hz, 2H), 2.61 (tt, J = 11.7, 3.3 Hz, 1H), 7.25 (dd, J = 7.4, 5.0 Hz, 1H), 7.80 (t, J = 7.2 Hz, 1H), 7.81 (s, 1H), 7.96 (d, J = 7.6 Hz, 1H), 8.58 (d, J = 4.8 Hz, 1H), 11.05 (s, 1H); ^{13}C NMR (150 MHz, $(CD_3)_2CO$) δ 26.2, 26.4,

30.0, 45.2, 112.2, 121.0, 123.4, 137.7, 150.4, 150.6, 153.6, 159.3, 175.1; HRMS (ESI+) calcd for $C_{15}H_{18}N_3OS$ $[M + H]^+$ 288.1165, found 288.1168 (error 1.0 ppm).

2-Methyl-*N*-[4-(2-pyridinyl)-1,3-thiazol-2-yl)cyclopropanecarboxamide (29)
Synthesized from 50 mg 2-methylcyclopropanoic acid using general procedure **B** to obtain 70 mg (54%) of a colorless powder. R_f 0.48 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $(CD_3)_2CO$) δ mixture of isomers (80:20) 0.80 (dt, J = 4.2, 7.2 Hz, 0.8H), 0.98-1.01 (m, 0.2H), 1.09-1.12 (m, 0.2H), 1.15 (d, J = 6.6 Hz, 2.4H), 1.19 (d, J = 6.0 Hz, 0.6H), 1.23 (p, J = 4.2 Hz, 0.8H), 1.27-1.30 (m, 0.2H), 1.41-1.46 (m, 0.8H), 1.81 (p, J = 4.2 Hz, 0.8H), 2.12 (td, J = 5.4, 7.8 Hz, 0.2H), 7.27 (dd, J = 4.8, 7.8 Hz, 1H), 7.78 (s, 1H), 7.81 (td, J = 1.2, 7.8 Hz, 1H), 7.96 (d, J = 8.4 Hz, 1H), 8.57 (d, J = 4.2 Hz, 1H), 11.29 (s, 1H); ^{13}C NMR (150 MHz, $(CD_3)_2CO$) δ 12.7, 14.7, 17.6, 17.7, 18.4, 18.6, 21.2, 23.7, 112.5, 121.5, 123.9, 138.2, 150.9, 151.1, 154.2, 159.7, 172.9; HRMS (ESI+) calcd for $C_{13}H_{14}N_3OS$ $[M + H]^+$ 260.0852, found 260.0851 (error 0.4 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)furane-2-carboxamide (30)**
Synthesized from 50 mg 2-furancarboxylic acid using general procedure **B** to obtain 38 mg (50%) of a white colored powder. R_f 0.52 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, CD_3OD) δ 7.00 (m, 1H), 7.32 (ddd, J = 7.8, 4.8, 1.2 Hz, 1H), 7.66 (t, J = 1.8 Hz, 1H), 7.76 (s, 1H), 7.86 (td, J = 7.8, 1.8 Hz, 1H), 8.09 (d, J = 7.8 Hz, 1H), 8.35 (m, 1H), 8.54 (d, J = 5.4 Hz, 1H); ^{13}C (150 MHz, $CDCl_3$) δ 110.0, 113.3, 122.5, 122.6, 124.2, 139.0, 145.9, 148.2, 150.2, 150.7, 153.9, 159.9, 162.7; HRMS (ESI+) calcd for $C_{13}H_{10}N_3O_2S$ $[M + H]^+$ 272.0488, found 272.0484 (error 1.5 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)furane-3-carboxamide (31)**
Synthesized from 50 mg 3-furancarboxylic acid using general procedure **B** to obtain 40 mg (52%) of a white colored powder. R_f 0.46 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, CD_3OD) δ 6.70 (m, 1H), 7.34 (dd, J = 6.6, 5.4 Hz, 1H), 7.42 (d, J = 3.0 Hz, 1H), 7.80 (s, 1H), 7.82 (s, 1H), 7.88 (t, J = 7.2 Hz, 1H), 8.12 (d, J = 8.4 Hz, 1H), 8.56 (d, J = 4.2 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.2, 112.9, 117.1, 120.6, 122.7, 136.8, 145.4, 145.8, 149.5, 150.0, 152.3, 155.2, 157.4; HRMS (ESI+) calcd for $C_{13}H_{10}N_3O_2S$ $[M + H]^+$ 272.0488, found 272.0493 (error 1.8 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)thiophene-2-carboxamide (32)**
Synthesized from 50 mg 2-thiophenecarboxylic acid using general procedure **C**, to obtain 56 mg (50%) of a pale yellow powder. R_f 0.48 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, CD_3OD) δ 7.24 (dd, J = 4.8, 4.0 Hz, 1H), 7.34 (dd, J = 7.0, 5.6 Hz, 1H), 7.79 (s, 1H), 7.84 (dd, J = 5.0, 0.9 Hz, 1H), 7.89 (td, J = 7.7, 1.6 Hz, 1H), 8.04 (dd, J = 3.8, 0.9 Hz, 1H), 8.12 (d, J = 7.9 Hz, 1H), 8.56 (d, J = 4.7 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.3, 120.4, 122.6, 128.1, 130.0, 132.4, 136.4, 136.7, 149.5, 149.6, 152.0, 158.6, 159.7; HRMS (ESI+) calcd for $C_{13}H_{10}N_3OS_2$ $[M + H]^+$ 288.0260, found 288.0267 (error 2.4 ppm).

***N*-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)thiophene-3-carboxamide (33)**
Synthesized from 50 mg 3-thiophenecarboxylic acid using general procedure **C** to obtain 59 mg (53%) of a pale yellow powder. R_f 0.47 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.18 (dd, J = 7.2, 5.4 Hz, 1H), 7.34 (dd, J = 4.8, 3.0 Hz, 1H), 7.54 (s, 1H), 7.62 (d, J = 5.4 Hz, 1H), 7.70 (td, J = 7.8, 1.2 Hz, 1H), 7.80 (d, J = 8.4 Hz, 1H), 8.23 (d, J = 1.8 Hz, 1H), 8.51 (d, J = 4.8

Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.1, 120.8, 122.6, 126.6, 126.7, 130.6, 135.1, 137.2, 148.9, 149.0, 152.0, 158.8, 160.8; HRMS (ESI+) calcd for $C_{13}H_{10}N_3OS_2$ $[M + H]^+$ 288.0260, found 288.0258 (error 0.7 ppm).

_N_-[4-(2-Pyridinyl)-1,3-thiazol-2-yl)thiazole-4-carboxamide (34)
Synthesized from 50 mg 4-thiazolecarboxylic acid using general procedure **C** to obtain 50 mg (46%) of a pale yellow powder. R_f 0.38 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.22 (dd, J = 7.2, 5.4 Hz, 1H), 7.76 (s, 1H), 7.76 (td, J = 7.8, 1.2 Hz, 1H), 7.98 (d, J = 7.8 Hz, 1H), 8.38 (d, J = 1.8 Hz, 1H), 8.64 (d, J = 4.2 Hz, 1H), 8.86 (d, J = 1.8 Hz, 1H), 10.60 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.2, 120.7, 122.7, 125.6, 136.9, 148.9, 149.6, 150.2, 152.4, 153.4, 157.1, 158.2; HRMS (ESI+) calcd for $C_{12}H_9N_4OS_2$ $[M + H]^+$ 289.0212, found 289.0212 (error 0.0 ppm).

2-[_N_-(2-Methylbenzoyl)amino]-4-(pyridin-2-yl)thiazole (36)
Synthesized from 66 mg *ortho*-toluic acid using general procedure **C** to obtain 84 mg (81%) of a colorless solid. R_f 0.64 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.38 (s, 3H), 6.87 (t, J = 7.3 Hz, 1H), 6.95 (d, J = 7.6 Hz, 1H), 7.05 (dd, J = 6.6, 5.4 Hz, 1H), 7.09 (t, J = 7.5 Hz, 1H), 7.18 (d, J = 7.6 Hz, 1H), 7.50 (td, J = 7.8, 1.2 Hz, 1H), 7.54 (d, J = 7.8 Hz, 1H), 7.64 (s, 1H), 8.45 (d, J = 4.7 Hz, 1H), 11.62 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 19.8, 111.6, 120.0, 122.2, 125.5, 126.9, 130.9, 132.7, 136.2, 137.0, 149.1, 149.4, 151.6, 155.2, 159.2, 167.5; HRMS (ESI+) calcd for $C_{16}H_{14}N_3OS$ $[M + H]^+$ 296.0852, found 296.0845 (error 2.4 ppm).

2-[_N_-(3-Methylbenzoyl)amino]-4-(pyridin-2-yl)thiazole (37)
Synthesized from 58 mg *meta*-toluic acid using general procedure **C** to obtain 83 mg (80%) of a slightly yellowish powder. R_f 0.63 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.36 (s, 3H), 7.16 (ddd, J = 7.6, 4.7, 1.2 Hz, 1H), 7.31 (m, 1H), 7.32 (s, 1H), 7.66 (m, 3H), 7.74 (s, 1H), 7.82 (d, J = 7.6 Hz, 1H), 8.59 (d, J = 4.1 Hz, 1H), 10.32 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 21.1, 112.0, 120.2, 122.3, 124.5, 127.9, 128.5, 131.8, 133.4, 136.4, 138.5, 149.3, 149.7, 151.8, 159.3, 165.7. HRMS (ESI+) calcd for $C_{16}H_{14}N_3OS$ $[M + H]^+$ 296.0852, found 296.0844 (error 2.7 ppm).

2-[_N_-(4-Methylbenzoyl)amino]-4-(pyridin-2-yl)thiazole (38)
Synthesized from 66 mg *para*-toluic acid using general procedure **C** to obtain 94 mg (91%) of a slightly yellowish crystalline solid. R_f 0.60 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.28 (s, 3H), 7.06 (m, 1H), 7.09 (d, J = 7.6 Hz, 2H), 7.53 (t, J = 7.3 Hz, 1H), 7.69-7.73 (m, 4H), 8.51 (d, J = 3.0 Hz, 1H), 11.07 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 21.3, 111.9, 120.2, 122.3, 127.4, 129.0, 129.2, 136.5, 143.3, 149.2, 149.6, 152.1, 159.0, 165.2; HRMS (ESI+) calcd for $C_{16}H_{14}N_3OS$ $[M + H]^+$ 296.0852, found 296.0855 (error 1.0 ppm).

2-[_N_-(2-Benzyloxybenzoyl)amino]-4-(pyridin-2-yl)thiazole (39)
Synthesized from 113 mg 2-benzyloxybenzoic acid using general procedure **C** to obtain 105 mg (77%) of a slightly yellowish powder. R_f 0.68 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 5.38 (s, 2H), 7.14 (d, J = 8.2 Hz, 1H), 7.18 (t, J = 7.3 Hz, 1H), 7.24 (m, 1H), 7.45 (m, 1H), 7.49 (t, J = 7.2 Hz, 2H), 7.55 (t, J = 8.2 Hz, 1H), 7.58 (d, J = 7.6 Hz, 2H), 7.79 (td, J = 6.9, 1.8 Hz, 1H), 7.85 (m, 1H), 7.92 (d, J = 7.6 Hz, 1H), 8.35 (d, J = 7.0 Hz, 1H), 8.62 (d, J = 4.1 Hz, 1H), 11.35 (s, 1H); ^{13}C NMR (150 MHz, DMSO) δ 70.5, 111.9, 113.7, 120.1, 121.1, 121.4,

122.9, 127.9, 128.1, 128.5, 130.5, 133.6, 136.2, 137.2, 149.2, 149.5, 151.9, 156.4, 157.5, 163.8; HRMS (APCI+) calcd for $C_{22}H_{18}N_3O_2S$ $[M + H]^+$ 388.1114, found 388.1110 (error 1.0 ppm).

2-[*N*-(3-Benyloxybenzoyl)amino]-4-(pyridin-2-yl)thiazole (40)
Synthesized from 113 mg 3-benzyloxybenzoic acid using general procedure **C** to obtain 44 mg (32%) of a colorless crystalline solid. R_f 0.47 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 5.00 (s, 2H), 7.04 (d, J = 7.6 Hz, 1H), 7.09 (dd, J = 6.0, 5.4 Hz, 1H), 7.22 (t, J = 7.9 Hz, 1H), 7.32 (m, 1H), 7.37-7.41 (m, 5H), 7.48 (s, 1H), 7.57 (t, J = 7.6 Hz, 1H), 7.72 (s, 1H), 7.73 (d, J = 7.8 Hz, 1H), 8.53 (d, J = 4.1 Hz, 1H), 11.02 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 70.0, 112.2, 113.3, 119.6, 119.9, 120.3, 122.5, 127.4, 128.1, 128.5, 129.8, 133.3, 136.2, 136.6, 149.3, 149.7, 151.9, 158.9, 159.0, 165.1; HRMS (ESI+) calcd for $C_{22}H_{18}N_3O_2S$ $[M + H]^+$ 388.1114, found 388.1123 (error 2.3 ppm).

2-[*N*-(4-Benzyloxybenzoyl)amino]-4-(pyridin-2-yl)thiazole (41)
Synthesized from 113 mg 4-benzyloxybenzoic acid using general procedure **C** to obtain 103 mg (76%) of a colorless crystalline solid. R_f 0.42 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 5.14 (s, 2H), 7.08 (d, J = 8.8 Hz, 2H), 7.27 (dd, J = 7.3, 5.0 Hz, 1H), 7.34 (m, 1H), 7.39 (t, J = 7.6 Hz, 2H), 7.43 (d, J = 7.8 Hz, 2H), 7.64 (s, 1H), 7.80 (t, J = 7.6 Hz, 1H), 7.92 (d, J = 7.6 Hz, 1H), 8.03 (d, J = 8.8 Hz, 2H), 8.58 (d, J = 4.7 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 69.9, 112.1, 114.6, 120.8, 122.6, 124.2, 127.2, 127.9, 128.3, 129.6, 135.9, 137.4, 148.4, 148.5, 151.7, 159.1, 162.2, 165.0; HRMS (ESI+) calcd for $C_{22}H_{18}N_3O_2S$ $[M + H]^+$ 388.1114, found 388.1116 (error 0.5 ppm).

2-[*N*-(2-Methoxybenzoyl)amino]-4-(pyridin-2-yl)thiazole (42)
Synthesized from 64 mg 2-methoxybenzoic acid using general procedure **C** to obtain 47 mg (43%) of an off-white solid. R_f 0.61 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 4.08 (s, 3H), 7.03 (d, J = 8.2 Hz, 1H), 7.12 (t, J = 7.6 Hz, 1H), 7.19 (dd, J = 7.0, 4.7 Hz, 1H), 7.52 (td, J = 7.8, 1.8 Hz, 1H), 7.71 (s, 1H), 7.73 (td, J = 7.6, 1.8 Hz, 1H), 7.98 (d, J = 8.2 Hz, 1H), 8.29 (dd, J = 7.6, 1.8 Hz, 1H), 8.62 (d, J = 4.7 Hz, 1H), 11.15 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 56.3, 111.5, 112.2, 118.9, 120.7, 121.6, 122.5, 132.6, 134.4, 136.9, 149.3, 149.7, 152.4, 157.7, 158.0, 162.7; HRMS (ESI+) calcd for $C_{16}H_{14}N_3O_2S$ $[M + H]^+$ 312.0801, found 312.0794 (error 2.2 ppm).

2-[*N*-(3-Methoxybenzoyl)amino]-4-(pyridin-2-yl)thiazole (43)
Synthesized from 62 mg 3-methoxybenzoic acid using general procedure **C** to obtain 76 mg (70%) of a yellowish solid. R_f 0.62 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 3.72 (s, 3H), 6.93 (dd, J = 8.2, 2.3 Hz, 1H), 7.07 (dd, J = 7.0, 5.3 Hz, 1H), 7.16 (t, J = 7.9 Hz, 1H), 7.33 (d, J = 7.6 Hz, 1H), 7.36 (s, 1H), 7.54 (td, J = 7.8, 1.5 Hz, 1H), 7.68 (d, J = 8.4 Hz, 1H), 7.70 (s, 1H), 8.50 (d, J = 4.7 Hz, 1H), 11.14 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 55.2, 112.0, 112.2, 119.1, 119.3, 120.2, 122.3, 129.6, 133.2, 136.4, 149.2, 149.6, 151.8, 159.1, 159.5, 165.3; HRMS (ESI+) calcd for $C_{16}H_{14}N_3O_2S$ $[M + H]^+$ 312.0801, found 312.0798 (error 1.0 ppm).

2-[*N*-(4-Methoxybenzoyl)amino]-4-(pyridin-2-yl)thiazole (44)
Synthesized from 65 mg 4-methoxybenzoic acid using general procedure **C** to obtain 84 mg (77%) of a colorless powder. R_f 0.57 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 3.72 (s, 3H), 6.74 (d, J = 8.8 Hz, 2H), 7.04 (dd, J = 7.0, 5.3 Hz, 1H), 7.51 (td, J = 7.6, 1.8 Hz, 1H),

7.66 (s, 1H), 7.70 (d, J = 7.6 Hz, 1H), 7.81 (d, J = 8.8 Hz, 2H), 8.47 (d, J = 4.1 Hz, 1H), 11.19 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 55.2, 111.7, 113.7, 120.2, 122.2, 124.0, 129.4, 136.4, 149.1, 149.5, 152.1, 159.2, 162.9, 164.8; HRMS (ESI+) calcd for $C_{16}H_{14}N_3O_2S$ $[M + H]^+$ 312.0801, found 312.0805 (error 1.3 ppm).

2-[*N*-(2-Hydroxybenzoyl)amino]-4-(pyridin-2-yl)thiazole (45)
Synthesized from 69 mg salicylic acid using general procedure **C** to obtain 40 mg (38%) of a colorless solid. R_f 0.48 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, CD_3OD) δ 7.00 (t, J = 7.3 Hz, 1H), 7.06 (d, J = 8.2 Hz, 1H), 7.34 (dd, J = 6.6, 5.4 Hz, 1H), 7.48 (t, J = 7.6 Hz, 1H), 7.88 (t, J = 7.8 Hz, 1H), 7.90 (s, 1H), 8.02 (t, J = 7.6 Hz, 2H), 8.61 (d, J = 8.2 Hz, 1H), 12.19 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.2, 116.7, 117.2, 119.6, 120.2, 123.0, 130.3, 134.4, 137.3, 148.8, 149.5, 151.7, 157.6, 158.2, 164.7; HRMS (APCI+) calcd for $C_{15}H_{12}N_3O_2S$ $[M + H]^+$ 298.0645, found 298.0638 (error 2.3 ppm).

2-[*N*-(2-Acetylbenzoyl)amino]-4-(pyridin-2-yl)thiazole (46)
Synthesized from 64 mg 2-acetylbenzoic acid using general procedure **C** to obtain 39 mg (31%) of a yellowish solid. R_f 0.69 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.22 (s, 3H), 6.20 (s, 1H), 7.23 (dd, J = 6.0, 5.4 Hz, 1H), 7.59 (td, J = 6.9, 2.4 Hz, 1H), 7.71-7.74 (m, 2H), 7.76 (t, J = 7.6 Hz, 1H), 7.82 (s, 1H), 7.92 (d, J = 7.0 Hz, 1H), 7.97 (d, J = 7.6 Hz, 1H), 8.57 (d, J = 4.7 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 26.7, 91.8, 111.9, 120.6, 122.3, 122.7, 122.9, 124.3, 128.6, 130.0, 134.1, 137.2, 147.6, 149.0, 151.8, 156.6, 164.8; HRMS (ESI+) calcd for $C_{17}H_{14}N_3O_2S$ $[M + H]^+$ 324.0801, found 324.0807 (error 1.9 ppm).

2-[*N*-(3-Acetylbenzoyl)amino]-4-(pyridin-2-yl)thiazole (47)
Synthesized from 64 mg 3-acetylbenzoic acid using general procedure **C** to obtain 70 mg (62%) of a colorless powder. R_f 0.65 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.59 (s, 3H), 7.11 (dd, J = 7.2, 4.8 Hz, 1H), 7.49 (t, J = 7.6 Hz, 1H), 7.60 (t, J = 7.6 Hz, 1H), 7.72 (s, 1H), 7.74 (d, J = 7.6 Hz, 1H), 8.05 (d, J = 8.8 Hz, 1H), 8.07 (d, J = 8.8 Hz, 1H), 8.43 (s, 1H), 8.52 (d, J = 4.1 Hz, 1H), 11.02 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 26.6, 112.4, 120.4, 122.6, 127.2, 129.3, 131.8, 132.2, 132.6, 136.6, 137.4, 149.5, 149.7, 151.9, 158.8, 164.5, 196.7; HRMS (ESI+) calcd for $C_{17}H_{14}N_3O_2S$ $[M + H]^+$ 324.0801, found 324.0800 (error 0.3 ppm).

2-[*N*-(4-Acetylbenzoyl)amino]-4-(pyridin-2-yl)thiazole (48)
Synthesized from 67 mg 4-acetylbenzoic acid using general procedure **C** to obtain 67 mg (59%) of a colorless powder. R_f 0.59 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 2.64 (s, 3H), 7.18 (dd, J = 7.2, 4.8 Hz, 1H), 7.68 (td, J = 7.8, 1.5 Hz, 1H), 7.75 (s, 1H), 7.83 (d, J = 7.6 Hz, 1H), 7.98 (d, J = 8.4 Hz, 2H), 8.01 (d, J = 8.4 Hz, 2H), 8.59 (d, J = 4.7 Hz, 1H), 10.30 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 26.8, 112.4, 120.4, 122.7, 127.7, 128.8, 135.6, 136.8, 140.1, 149.6, 152.0, 158.3, 164.0, 172.1, 197.0; HRMS (ESI+) calcd for $C_{17}H_{14}N_3O_2S$ $[M + H]^+$ 324.0801, found 324.0795 (error 1.9 ppm).

2-[*N*-(2-Nitrobenzoyl)amino]-4-(pyridin-2-yl)thiazole (49)
Synthesized from 262 mg 2-nitrobenzoic acid using general procedure **C** to obtain 175 mg (38%) of a yellow powder. R_f 0.69 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.13 (ddd, J = 7.2, 4.8, 1.2 Hz, 1H), 7.34-7.38 (m, 3H), 7.56 (td, J = 7.8, 1.5 Hz, 1H), 7.61 (d, J = 7.8 Hz, 1H), 7.67 (s, 1H), 7.74 (dd, J = 6.6, 2.3 Hz, 1H), 8.48 (d, J = 4.7 Hz, 1H), 12.00 (s, 1H);

^{13}C NMR (150 MHz, $CDCl_3$) δ 112.4, 120.1, 122.7, 124.2, 128.4, 130.0, 131.2, 133.4, 136.7, 146.0, 148.8, 149.3, 151.0, 159.9, 164.5; HRMS (ESI+) calcd for $C_{15}H_{11}N_4O_3S$ $[M + H]^+$ 327.0546, found 327.0542 (error 1.2 ppm).

2-[*N*-(3-Nitrobenzoyl)amino]-4-(pyridin-2-yl)thiazole (50)
Synthesized from 133 mg 3-nitrobenzoic acid using general procedure **C** to obtain 46 mg (20%) of an orange solid. R_f 0.55 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.06 (dd, J = 6.7, 5.6 Hz, 1H), 7.47 (t, J = 7.9 Hz, 1H), 7.56 (td, J = 7.6, 1.8 Hz, 1H), 7.66 (d, J = 8.2 Hz, 1H), 7.67 (s, 1H), 8.17 (d, J = 7.6 Hz, 1H), 8.19 (d, J = 7.6 Hz, 1H), 8.45 (d, J = 4.7 Hz, 1H), 8.87 (s, 1H), 12.41 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.5, 120.3, 122.6, 122.8, 126.7, 129.6, 133.6, 134.0, 136.6, 147.8, 149.3, 149.4, 151.2, 159.6, 163.9; HRMS (APCI+) calcd for $C_{15}H_{11}N_4O_3S$ $[M + H]^+$ 327.0546, found 327.0544 (error 0.6 ppm).

2-[*N*-(2-Bromobenzoyl)amino]-4-(pyridin-2-yl)thiazole (51)
Synthesized from 85 mg 2-bromobenzoic acid using general procedure **C** to obtain 42 mg (28%) of a slightly yellowish solid. R_f 0.60 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.14 (dd, J = 7.0, 4.7 Hz, 1H), 7.24-7.27 (m, 1H), 7.28-7.30 (m, 1H), 7.54 (d, J = 7.6 Hz, 1H), 7.56-7.58 (m, 1H), 7.69 (td, J = 7.6, 1.2 Hz, 1H), 7.74 (s, 1H), 7.81 (d, J = 7.6 Hz, 1H), 8.57 (d, J = 4.7 Hz, 1H), 10.59 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.4, 119.6, 120.3, 122.5, 127.2, 129.4, 132.1, 133.4, 134.8, 136.9, 149.0, 149.2, 151.3, 159.2, 165.4; HRMS (ESI+) calcd for $C_{15}H_{11}BrN_3OS$ $[M + H]^+$ 359.9801, found 359.9803 (error 0.6 ppm).

2-[*N*-(3-Bromobenzoyl)amino]-4-(pyridin-2-yl)thiazole (52)
Synthesized from 85 mg 3-bromobenzoic acid using general procedure **C** to obtain 120 mg (95%) of a colorless solid. R_f 0.55 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.06 (dd, J = 7.2, 5.4 Hz, 1H), 7.09 (t, J = 7.8, 1H), 7.45 (d, J = 8.2 Hz, 1H), 7.53 (td, J = 7.6, 1.2 Hz, 1H), 7.66 (d, J = 8.2 Hz, 1H), 7.69 (s, 1H), 7.70 (d, J = 8.2 Hz, 1H), 7.93 (s, 1H), 8.46 (d, J = 4.1 Hz, 1H), 11.94 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.2, 120.2, 122.4, 122.6, 126.0, 129.9, 130.8, 134.1, 135.2, 136.4, 149.2, 149.5, 151.8, 159.2, 164.4; HRMS (ESI+) calcd for $C_{15}H_{11}BrN_3OS$ $[M + H]^+$ 359.9801, found 359.9807 (error 1.7 ppm).

2-[*N*-(4-Bromobenzoyl)amino]-4-(pyridin-2-yl)thiazole (53)
Synthesized from 82 mg 4-bromobenzoic acid using general procedure **C** to obtain 106 mg (83%) of a colorless solid. R_f 0.56 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.11 (dd, J = 6.5, 4.7 Hz, 1H), 7.44 (d, J = 8.8 Hz, 2H), 7.57 (td, J = 7.6, 1.8 Hz, 1H), 7.65 (d, J = 8.8 Hz, 2H), 7.70 (d, J = 8.2 Hz, 1H), 7.73 (s, 1H), 8.55 (d, J = 4.1 Hz, 1H), 11.13 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.3, 120.3, 122.6, 127.7, 128.9, 130.8, 132.0, 136.6, 149.5, 149.8, 151.9, 159.2, 164.6; HRMS (ESI+) calcd for $C_{15}H_{11}BrN_3OS$ $[M + H]^+$ 359.9801, found 359.9801 (error 0.0 ppm).

2-[*N*-(2-Chlorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (54)
Synthesized from 57 mg 2-chlorobenzoic acid using general procedure **C** to obtain 80 mg (71%) of a colorless crystalline solid. R_f 0.62 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.05-7.08 (m, 1H), 7.13 (t, J = 6.3 Hz, 1H), 7.17-7.20 (m, 2H), 7.45 (d, J = 7.6 Hz, 1H), 7.62 (t, J = 7.5 Hz, 1H), 7.66 (d, J = 8.4 Hz, 1H), 7.72 (s, 1H), 8.50 (d, J = 4.7 Hz, 1H), 11.67 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.3, 120.3, 122.5, 126.8, 129.9, 130.3, 131.1, 132.2, 132.4, 136.8,

149.1, 149.3, 151.4, 158.9, 164.4; HRMS (ESI+) calcd for $C_{15}H_{11}ClN_3OS$ $[M + H]^+$ 316.0306, found 316.0306 (error 0.0 ppm).

2-[*N*-(3-Chlorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (55)
Synthesized from 65 mg 3-chlorobenzoic acid using general procedure **C** to obtain 96 mg (88%) of a slightly yellowish solid. R_f 0.61 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.16 (dd, J = 7.0, 5.3 Hz, 1H), 7.32 (t, J = 7.9 Hz, 1H), 7.45 (dd, J = 7.6, 1.2 Hz, 1H), 7.64 (td, J = 7.6, 1.8 Hz, 1H), 7.71 (d, J = 7.6 Hz, 1H), 7.74 (s, 1H), 7.77 (d, J = 8.2 Hz, 1H), 7.85 (s, 1H), 8.55 (d, J = 4.7 Hz, 1H), 10.78 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.4, 120.4, 122.6, 125.3, 127.9, 130.1, 132.8, 133.8, 135.1, 136.7, 149.5, 149.7, 151.9, 158.7, 164.0; HRMS (ESI+) calcd for $C_{15}H_{11}ClN_3OS$ $[M + H]^+$ 316.0306, found 316.0306 (error 0.0 ppm).

2-[*N*-(4-Chlorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (56)
Synthesized from 50 mg 4-chlorophenylcarboxylic acid using general procedure **C** to obtain 55 mg (51%) of a pale yellow powder. R_f 0.48 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.09 (dd, J = 6.6, 5.4 Hz, 1H), 7.25 (d, J = 8.4 Hz, 2H), 7.56 (t, J = 7.5 Hz, 1H), 6.68 (m, 1H), 7.70 (s, 1H), 7.72 (d, J = 6.0 Hz, 2H), 8.53 (d, J = 4.2 Hz, 1H), 11.24 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.3, 120.3, 122.6, 128.8, 129.0, 130.3, 136.6, 139.1, 149.4, 149.8, 151.8, 159.3, 164.5; HRMS (ESI+) calcd for $C_{15}H_{11}ClN_3OS$ $[M + H]^+$ 316.0306, found 316.0301 (error 1.6 ppm).

2-[*N*-(2-Fluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (57)
Synthesized from 50 mg 2-fluorophenylcarboxylic acid using general procedure **C** to obtain 58 mg (58%) of a pale yellow powder. R_f 0.54 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.22 (m, 2H), 7.35 (t, J = 7.2 Hz, 1H), 7.59 (d, J = 6.0 Hz, 1H), 7.73 (s, 1H), 7.75 (d, J = 7.8 Hz, 1H), 7.96 (d, J = 7.2 Hz, 1H), 8.23 (t, J = 7.5 Hz, 1H), 8.62-8.64 (m, 1H), 10.02 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.4, 116.5 (d, J = 24.2 Hz), 118.8 (d, J = 10.5 Hz), 120.7, 122.7, 125.4 (d, J = 3.3 Hz), 132.4 (d, J = 0.9 Hz), 135.1 (d, J = 9.5 Hz), 136.9, 149.6, 150.1, 152.4, 157.4, 160.6 (d, J = 2.9 Hz), 160.8 (d, J = 248 Hz); HRMS (ESI+) calcd for $C_{15}H_{11}FN_3OS$ $[M + H]^+$ 300.0601, found 300.0605 (error 1.3 ppm).

2-[*N*-(3-Fluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (58)
Synthesized from 59 mg 3-fluorobenzoic acid using general procedure **C** to obtain 48 mg (46%) of a slightly yellowish solid. R_f 0.61 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.06 (m, 2H), 7.21 (dt, J = 7.8, 5.4 Hz, 1H), 7.49 (m, 2H), 7.53 (dt, J = 7.6, 1.8 Hz, 1H), 7.66 (d, J = 7.6 Hz, 1H), 7.68 (s, 1H), 8.46 (d, J = 4.1 Hz, 1H), 11.22 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.3, 114.9 (d, J = 23 Hz), 119.7 (d, J = 21 Hz), 120.3, 122.5, 122.8 (d, J = 3.5 Hz), 130.4 (d, J = 8 Hz), 134.2 (d, J = 7 Hz), 136.6, 149.4, 149.7, 151.8, 159.0, 162.5 (d, J = 247 Hz), 164.2; HRMS (ESI+) calcd for $C_{15}H_{11}FN_3OS$ $[M + H]^+$ 300.0601, found 300.0602 (error 0.3 ppm).

2-[*N*-(4-Fluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (59)
Synthesized from 62 mg 4-fluorobenzoic acid using general procedure **C** to obtain 65 mg (62%) of a colorless crystalline solid. R_f 0.59 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.94 (t, J = 8.5 Hz, 2H), 7.06 (dd, J = 6.7, 5.0 Hz, 1H), 7.53 (td, J = 7.6, 1.2 Hz, 1H), 7.69 (s, 1H), 7.69 (d, J = 7.2 Hz, 1H), 7.86 (dd, J = 8.8, 5.3 Hz, 2H), 8.48 (d, J = 4.7 Hz, 1H), 11.57 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.0, 115.7 (d, J = 22 Hz), 120.2, 122.4, 128.2 (d, J = 3.5 Hz),

130.1 (d, J = 9.2 Hz), 136.5, 149.3, 149.6, 152.0, 159.2, 164.5, 165.1 (d, J = 253 Hz); HRMS (ESI+) calcd for $C_{15}H_{11}FN_3OS$ $[M + H]^+$ 300.0601, found 300.0608 (error 2.3 ppm).

2-[*N*-(2,3-Difluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (60)
Synthesized from 77 mg 2,3-difluorobenzoic acid using general procedure **C** to obtain 67 mg (60%) of a colorless crystalline solid. R_f 0.63 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.25 (m, 1H), 7.30 (td, J = 7.8, 4.8 Hz, 1H), 7.44 (dt, J = 9.0, 8.4 Hz, 1H), 7.79 (t, J = 7.6 Hz, 1H), 7.82 (s, 1H), 7.98 (m, 1H), 7.99 (t, J = 7.9 Hz, 1H), 8.65 (d, J = 4.7 Hz, 1H), 9.91 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.6, 120.6, 121.4 (d, J = 8.0 Hz), 121.6 (d, J = 17.3 Hz), 122.7, 124.9 (t, J = 5.8 Hz), 126.3 (d, J = 3.5 Hz), 136.9, 148.9 (dd, J = 250, 14.4 Hz), 149.3, 149.8, 150.5 (dd, J = 250, 14.4 Hz), 151.9, 157.4, 159.9; HRMS (ESI+) calcd for $C_{15}H_{10}F_2N_3OS$ $[M + H]^+$ 318.0507, found 318.0505 (error 0.6 ppm).

2-[*N*-(2,4-Difluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (61)
Synthesized from 77 mg 2,4-difluorobenzoic acid using general procedure **C** to obtain 66 mg (59%) of a colorless powder. R_f 0.64 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.96 (ddd, J = 12.0, 8.4, 2.4 Hz, 1H), 7.07 (td, J = 8.1, 1.8 Hz, 1H), 7.21 (ddd, J = 7.2, 4.8, 1.2 Hz, 1H), 7.73 (s, 1H), 7.74 (td, J = 7.6, 1.8 Hz, 1H), 7.94 (d, J = 7.6 Hz, 1H), 8.24 (td, J = 8.8, 6.5 Hz, 1H), 8.62 (d, J = 4.7 Hz, 1H), 9.99 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 104.8 (dd, J = 28, 26 Hz), 112.4, 113.1 (dd, J = 21, 3.5 Hz), 115.4 (dd, J = 11, 3.5 Hz), 120.7, 122.7, 134.2 (dd, J = 10, 3.5 Hz), 136.9, 149.6, 150.1, 152.3, 157.3, 159.7 (d, J = 3.5 Hz), 161.2 (dd, J = 251, 13 Hz), 165.8 (dd, J = 257, 13 Hz). HRMS (ESI+) calcd for $C_{15}H_{10}F_2N_3OS$ $[M + H]^+$ 318.0507, found 318.0507 (error 0.0 ppm).

2-[*N*-(2,5-Difluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (62)
Synthesized from 77 mg 2,5-difluorobenzoic acid using general procedure **C** to obtain 77 mg (69%) of a colorless powder. R_f 0.60 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.14 (td, J = 9.9, 4.2 Hz, 1H), 7.17-7.22 (m, 2H), 7.70 (t, J = 7.6 Hz, 1H), 7.74 (s, 1H), 7.81 (ddd, J = 8.4, 5.4, 3.2 Hz, 1H), 7.90 (d, J = 8.2 Hz, 1H), 8.59 (d, J = 4.7 Hz, 1H), 10.20 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.6, 117.9 (dd, J = 27.6, 8.1 Hz), 118.1 (dd, J = 16.6, 2.3 Hz), 120.3 (dd, J = 13.8, 8.1 Hz), 120.6, 121.5 (dd, J = 24.2, 10.4 Hz), 122.6, 136.9, 149.3, 149.9, 152.0, 156.4 (dd, J = 244, 2.3 Hz), 157.2, 158.8 (dd, J = 244, 2.3 Hz), 159.5 (d, J = 3.5 Hz); HRMS (ESI+) calcd for $C_{15}H_{10}F_2N_3OS$ $[M + H]^+$ 318.0507, found 318.0513 (error 1.9 ppm).

2-[*N*-(2,6-Difluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (63)
Synthesized from 77 mg 2,6-difluorobenzoic acid using general procedure **C** to obtain 66 mg (59%) of a colorless powder. R_f 0.57 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.60 (t, J = 8.8 Hz, 2H), 7.12 (m, 2H), 7.61 (td, J = 7.8, 1.8 Hz, 1H), 7.65 (s, 1H), 7.65 (t, J = 4.1 Hz, 1H), 8.48 (d, J = 4.1 Hz, 1H), 12.19 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 111.6 (dd, J = 20, 4.0 Hz), 111.9, 120.1, 122.5, 132.8 (t, J = 10 Hz), 136.6, 149.2, 149.3, 151.4, 158.4, 158.9, 159.7 (dd, J = 253, 5.8 Hz), 162.5; HRMS (ESI+) calcd for $C_{15}H_{10}F_2N_3OS$ $[M + H]^+$ 318.0507, found 318.0506 (error 0.3 ppm).

2-[*N*-(3,4-Difluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (64)
Synthesized from 50 mg 3,4-difluorophenylcarboxylic acid using general procedure **C** to obtain 63 mg (63%) of a pale yellow powder. R_f 0.54 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ

7.08 (td, J = 9.0, 8.4 Hz, 1H), 7.14 (dd, J = 4.8, 1.2 Hz, 1H), 7.53 (m, 1H), 7.61 (td, J = 7.8, 1.8 Hz, 1H), 7.67 (td, J = 7.2, 1.8 Hz, 1H), 7.72 (d, J = 7.2 Hz, 1H), 7.73 (s, 1H), 8.53 (d, J = 4.2 Hz, 1H), 11.13 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.4, 117.5 (d, J = 18.9 Hz), 117.8 (d, J = 17.9 Hz), 120.4, 122.7, 124.0 (dd, J = 7.5, 3.6 Hz), 129.2, 136.7, 149.56, 149.62, 150.3 (dd, J = 251, 12.6 Hz), 151.8, 153.2 (dd, J = 256, 12.6 Hz), 159.0, 163.3; HRMS (ESI+) calcd for $C_{15}H_{10}F_2N_3OS$ $[M + H]^+$ 318.0507, found 318.0500 (error 2.2 ppm).

2-[*N*-(3,5-Difluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (65)
Synthesized from 77 mg 3,5-difluorobenzoic acid using general procedure **C** to obtain 91 mg (82%) of a colorless crystalline solid. R_f 0.50 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.03 (t, J = 8.2 Hz, 1H), 7.25 (t, J = 6.0 Hz, 1H), 7.60 (d, J = 5.9 Hz, 2H), 7.64 (s, 1H), 7.77 (t, J = 7.6 Hz, 1H), 7.91 (d, J = 7.6 Hz, 1H), 8.53 (d, J = 4.1 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 108.1 (t, J = 25.4 Hz), 111.4 (dd, J = 20.7, 5.7 Hz), 112.9, 121.5, 123.2, 135.7 (t, J = 8.6 Hz), 137.9, 149.0, 149.3, 152.2, 159.0, 163.3 (dd, J = 249, 11.4 Hz), 163.8 (t, J = 2.4 Hz); HRMS (ESI+) calcd for $C_{15}H_{10}F_2N_3OS$ $[M + H]^+$ 318.0507, found 318.0512 (error 1.6 ppm).

2-[*N*-(2-Chloro-6-fluorobenzoyl)amino]-4-(pyridin-2-yl)thiazole (66)
Synthesized from 85 mg 2-chloro-6-fluorobenzoic acid using general procedure **C** to obtain 70 mg (60%) of a yellowish solid. R_f 0.61 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.57 (t, J = 8.5 Hz, 1H), 6.81 (d, J = 8.2 Hz, 1H), 7.00 (m, 1H), 7.17 (t, J = 6.0 Hz, 1H), 7.65 (t, J = 7.5 Hz, 1H), 7.68 (t, J = 8.1 Hz, 1H), 7.68 (s, 1H), 8.51 (d, J = 4.7 Hz, 1H), 12.39 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.2, 113.9 (d, J = 21.8 Hz), 120.2, 122.5 (d, J = 19.7 Hz), 122.7, 125.2 (d, J = 2.3 Hz), 132.0 (d, J = 9.2 Hz), 132.2 (d, J = 4.7 Hz), 136.9, 148.8, 149.2, 151.0, 159.2 (d, J = 252 Hz), 159.7, 160.4; HRMS (ESI+) calcd for $C_{15}H_{10}ClFN_3OS$ $[M + H]^+$ 334.0212, found 334.0205 (error 2.1 ppm).

2-[*N*-(2-Chloropyridin-3-oyl)amino]-4-(pyridin-2-yl)thiazole (67)
Synthesized from 77 mg 2-chloronicotinic acid using general procedure **C** to obtain 91 mg (82%) of a yellow powder. R_f 0.61 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 6.96 (dd, J = 7.6, 4.7 Hz, 1H), 7.11 (dd, J = 8.4, 4.8 Hz, 1H), 7.59 (m, 2H), 7.65 (s, 1H), 7.69 (dd, J = 7.6, 1.8 Hz, 1H), 8.18 (dd, J = 4.7, 1.8 Hz, 1H), 8.46 (d, J = 4.7 Hz, 1H), 12.29 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.5, 120.1, 121.9, 122.7, 129.3, 136.6, 138.5, 147.3, 149.2, 149.3, 151.2, 151.3, 158.9, 163.1; HRMS (ESI+) calcd for $C_{14}H_{10}ClN_4OS$ $[M + H]^+$ 317.0258, found 317.0253 (error 1.6 ppm).

2-[*N*-(Pyridin-2-oyl)amino]-4-(pyridin-2-yl)thiazole (68)
Synthesized from 48 mg picolinic acid using general procedure **C** to obtain 58 mg (59%) of a colorless powder. R_f 0.84 (DCM:MeOH = 9:1); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.24 (dd, J = 4.8, 6.6 Hz, 1H), 7.54 (ddd, J = 6.6, 7.8, 1.2 Hz, 1H), 7.76 (dt, J = 7.8, 1.8 Hz, 1H), 7.77 (s, 1H), 7.94 (dt, J = 7.8, 1.2 Hz, 1H), 8.01 (d, J = 7.8 Hz, 1H), 8.30 (d, J = 7.8 Hz, 1H), 8.64 (d, J = 4.8 Hz, 1H), 8.67 (d, J = 4.8 Hz, 1H), 11.26 (s, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.1, 120.7, 122.5, 122.7, 127.2, 136.9, 137.6, 147.6, 148.5, 149.3, 150.0, 152.2, 157.3, 162.0; HRMS (ESI+) calcd for $C_{14}H_{11}N_4OS$ $[M + H]^+$ 283.0648, found 283.0651 (error 1.1 ppm).

2-[*N*-(Pyridin-3-oyl)amino]-4-(pyridin-2-yl)thiazole (69)

Synthesized from 50 mg 3-pyridylcarboxylic acid using general procedure **C** to obtain 58 mg (51%) of a pale yellow powder. R_f 0.33 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, CD_3OD) δ 7.35 (ddd, *J* = 7.5, 4.8, 1.2 Hz, 1H), 7.64 (ddd, *J* = 7.9, 4.8, 0.7 Hz, 1H), 7.84 (s, 1H), 7.90 (td, *J* = 7.6, 1.8 Hz, 1H), 8.13 (d, *J* = 7.9 Hz, 1H), 8.47 (dt, *J* = 8.0, 2.0 Hz, 1H), 8.57 (ddd, *J* = 5.0, 1.8, 0.9 Hz, 1H), 8.78 (dd, *J* = 4.8, 1.6 Hz, 1H), 9.20 (dd, *J* = 2.2, 0.7 Hz, 1H); ^{13}C NMR (150 MHz, $CDCl_3$) δ 112.4, 120.9, 122.8, 123.7, 128.5, 135.9, 137.3, 148.7, 149.1, 152.0, 152.7, 155.8, 158.7, 163.8; HRMS (ESI+) calcd for $C_{14}H_{11}N_4OS$ $[M + H]^+$ 283.0648, found 283.0651 (error 1.1 ppm).

2-[*N*-(Pyridin-4-oyl)amino]-4-(pyridin-2-yl)thiazole (70)

Synthesized from 50 mg 4-pyridylcarboxylic acid using general procedure **C** to obtain 57 mg (50%) of a pale yellow powder. R_f 0.35 (DCM:MeOH 9:1); ^{1}H NMR (600 MHz, CD_3OD) δ 7.34 (ddd, *J* = 7.2, 4.8, 1.2 Hz, 1H), 7.84 (s, 1H), 7.88 (td, *J* = 7.8, 1.8 Hz, 1H), 7.97 (d, *J* = 4.8 Hz, 1H), 7.98 (d, *J* = 4.8 Hz, 1H), 8.11 (d, *J* = 7.8 Hz, 1H), 8.56 (d, *J* = 4.8 Hz, 1H), 8.77 (d, *J* = 4.8 Hz, 1H), 8.78 (d, *J* = 4.8 Hz, 1H); ^{13}C NMR (150 MHz, CD_3OD) δ 113.8, 122.6, 123.3, 124.3, 139.0, 142.1, 150.2, 150.8, 151.4, 153.7, 160.0, 165.7; HRMS (ESI+) calcd for $C_{14}H_{11}N_4OS$ $[M + H]^+$ 283.0648, found 283.0653 (error 1.8 ppm).

Table S1. HPLC purity of all final compounds.

compd	molecular formula	HPLC purity 254 nm	HPLC purity 220 nm	compd	molecular formula	HPLC purity 254 nm	HPLC purity 220 nm
1	$C_{14}H_{11}N_3S$	94.4	95.6	**39**	$C_{22}H_{17}N_3O_2S$	96.6	n.d.
2	$C_{15}H_{13}N_3S$	99.8	99.4	**40**	$C_{22}H_{17}N_3O_2S$	97.3	97.4
3	$C_{15}H_{13}N_3S$	99.9	99.9	**41**	$C_{22}H_{17}N_3O_2S$	98.6	99.1
4	$C_{15}H_{13}N_3S$	99.9	99.9	**42**	$C_{16}H_{13}N_3O_2S$	98.5	99.0
5	$C_{16}H_{15}N_3S$	99.5	99.2	**43**	$C_{16}H_{13}N_3O_2S$	99.9	99.9
6	$C_{16}H_{15}N_3S$	99.7	99.8	**44**	$C_{16}H_{13}N_3O_2S$	99.8	99.9
7	$C_{16}H_{15}N_3S$	99.9	99.9	**45**	$C_{15}H_{11}N_3O_2S$	89.8	92.4
8	$C_{16}H_{15}N_3O_2S$	99.5	99.4	**46**	$C_{17}H_{13}N_3O_2S$	98.4	n.d.
9	$C_{16}H_{15}N_3O_2S$	99.9	99.9	**47**	$C_{17}H_{13}N_3O_2S$	99.9	99.9
10	$C_{14}H_{10}FN_3S$	99.7	99.8	**51**	$C_{15}H_{10}BrN_3OS$	99.9	99.9
11	$C_{14}H_{10}FN_3S$	99.9	99.9	**52**	$C_{15}H_{10}BrN_3OS$	99.9	99.9
12	$C_{14}H_{10}FN_3S$	99.8	99.9	**53**	$C_{15}H_{10}BrN_3OS$	99.9	99.9
13	$C_{15}H_{10}F_3N_3S$	n.d.	99.9	**54**	$C_{15}H_{10}ClN_3OS$	95.7	92.7
14	$C_{15}H_{10}F_3N_3S$	99.2	99.8	**55**	$C_{15}H_{10}ClN_3OS$	99.0	99.9
15	$C_{15}H_{10}F_3N_3S$	99.9	99.7	**56**	$C_{15}H_{10}ClN_3OS$	98.5	96.9
16	$C_{14}H_{11}N_3OS$	96.2	96.3	**57**	$C_{15}H_{10}FN_3OS$	98.5	99.6
17	$C_{13}H_{10}N_4S$	99.8	99.9	**58**	$C_{15}H_{10}FN_3OS$	99.3	99.3
18	$C_{13}H_9ClN_4S$	99.9	99.5	**59**	$C_{15}H_{10}FN_3OS$	99.8	99.9
19	$C_{14}H_{12}N_4S$	99.7	99.4	**60**	$C_{15}H_9F_2N_3OS$	95.2	96.9
21	$C_{12}H_{13}N_3OS$	99.5	99.9	**61**	$C_{15}H_9F_2N_3OS$	99.2	98.1
22	$C_{14}H_{17}N_3OS$	99.5	99.9	**62**	$C_{15}H_9F_2N_3OS$	99.9	99.9
23	$C_{16}H_{21}N_3OS$	99.8	99.9	**63**	$C_{15}H_9F_2N_3OS$	98.1	98.7
24	$C_{18}H_{25}N_3OS$	98.4	98.6	**64**	$C_{15}H_9F_2N_3OS$	96.7	95.6
25	$C_{12}H_{11}N_3OS$	99.5	99.9	**65**	$C_{15}H_9F_2N_3OS$	96.7	98.9
26	$C_{13}H_{13}N_3OS$	99.9	99.9	**66**	$C_{15}H_9ClFN_3OS$	95.5	98.7
27	$C_{14}H_{15}N_3OS$	99.9	99.9	**67**	$C_{14}H_9ClN_4OS$	99.9	99.9
28	$C_{15}H_{17}N_3OS$	99.4	99.3	**68**	$C_{14}H_{10}N_4OS$	99.9	99.7
29	$C_{13}H_{13}N_3OS$	99.2	99.2	**69**	$C_{14}H_{10}N_4OS$	96.5	97.6
30	$C_{13}H_9N_3O_2S$	99.0	95.2	**70**	$C_{14}H_{10}N_4OS$	99.2	99.6
31	$C_{13}H_9N_3O_2S$	99.1	99.8	**71**	$C_{16}H_{13}N_3OS$	96.9	98.2
32	$C_{13}H_9N_3OS_2$	99.7	99.8	**73**	$C_{15}H_{11}N_3OS$	98.4	99.9
33	$C_{13}H_9N_3OS_2$	99.8	95.3	**78**	$C_{15}H_{12}N_4O$	98.0	99.9
34	$C_{12}H_8N_4OS_2$	96.3	91.9	**82**	$C_{15}H_{11}N_3O_2$	99.9	99.9
35	$C_{15}H_{11}N_3OS$	99.9	99.9	**83**	$C_{14}H_9FN_4O_2$	99.8	99.6
36	$C_{16}H_{13}N_3OS$	98.6	98.9	**86**	$C_{14}H_9FN_4OS$	99.8	99.7
37	$C_{16}H_{13}N_3OS$	99.5	99.9	**91**	$C_{14}H_{10}N_4OS$	75.8	82.2
38	$C_{16}H_{13}N_3OS$	99.3	98.5	**92**	$C_{13}H_9N_3OS_2$	99.0	99.2