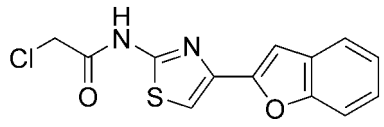
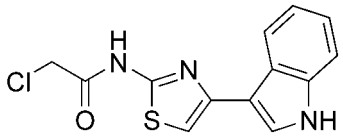
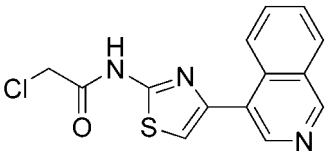


N-(4-Benzofuran-2-yl-thiazol-2-yl)-2-chloro-acetamide (5a). Commercially available 1-benzofuran-2-yl-2-bromo-ethanone (150 mg, 0.63 mmol) was dissolved in THF (10 ml), and treated with thiourea (95 mg, 1.26 mmol), and heated to 50 ^{0}C for 2 hours. LCMS indicated consumption of starting material and formation of the desired aminothiazole intermediate, 4-benzofuran-2-yl-thiazol-2-ylamine (**4a**) (88 mg, 65%). The precipitate was then filtered and washed twice with minimal amounts of ethanol, to remove excess thiourea. The crude material was utilized in the next step, utilizing general procedure 3, to generate the title compound (**5a**) as a brown solid (21 mg, 91%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 4.30 (s, 2H), 7.07 (s, 1H), 7.25 (t, *J*=8 Hz, 1H), 7.32 (t, *J*=8 Hz, 1H), 7.41 (s, 1H), 7.52 (d, *J*=8 Hz, 1H), 7.61 (d, *J*=8 Hz, 1H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 42.0, 103.2, 110.4, 111.2, 121.4, 123.2, 124.9, 128.7, 141.5, 151.0, 154.9, 157.9, 164.4. ESI-LCMS (low resolution) m/z calculated for $C_{13}H_9ClN_2O_2S$ [M+H] 293.7, found [M+H] 293.5.

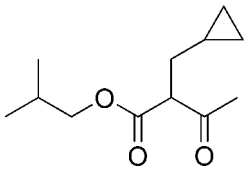


2-Chloro-N-[4-(1H-indol-3-yl)-thiazol-2-yl]-acetamide (5c). Utilizing general procedure 2, 1-(1H-indol-3-yl)-ethanone (**3c**) (1000 mg, 7.926 mmol) was converted to 4-(1H-indol-3-yl)-thiazol-2-ylamine (**4c**) which was isolated as a pink solid (2068 mg, 98%). This was utilized in the next step, utilizing general procedure 3, to generate the

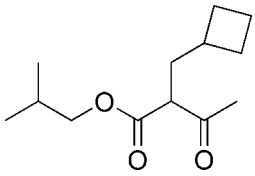
title compound (**5c**) as a light brown solid (81 mg, 81%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 4.41 (s, 2H), 7.10 (t, *J*=7 Hz, 1H), 7.15 (t, *J*=7 Hz, 1H), 7.34 (s, 1H), 7.43 (d, *J*=8 Hz, 1H), 7.74 (d, *J*=2 Hz, 1H), 8.10 (d, *J*=8 Hz, 1H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 42.8, 104.7, 110.2, 112.3, 120.1, 120.6, 122.0, 124.7, 125.1, 137.1, 141.6,158.9, 188.5. ESI-LCMS (low resolution) m/z calculated for $C_{13}H_{12}ClN_3OS$ [M+H] 294.8, found [M+H] 294.5.



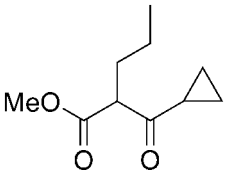
2-Chloro-N-(4-isoquinolin-4-yl-thiazol-2-yl)-acetamide (5d). Utilizing general procedure 2, 1-isoquinolin-4-yl-ethanone (**3d**) (100 mg, 0.4 mmol) was converted to 4-isoquinolin-4-yl-thiazol-2-ylamine (**4d**) which was isolated as a yellow solid (23 mg, 25%). This material was utilized in the next step, utilizing general procedure 3, to generate the title compound (**5d**) as a white solid (12 mg, 39%) after automated flash column chromatography. ^{1}H NMR (500 MHz, $CDCl_3$) δ 4.33 (s, 2H), 7.28 (s, 1H), 7.67 (t, *J*=8 Hz, 1H), 7.76 (t, *J*=8 Hz, 1H), 8.06 (d, *J*=8 Hz, 1H), 8.33 (d, *J*=8 Hz, 1H), 8.83 (s, 1H), 9.30 (s, 1H), 10.35-10.65 (bs, 1H). ESI-LCMS (low resolution) m/z calculated for $C_{14}H_{10}ClN_3OS$ [M+H] 304.8, found [M+H] 304.0.



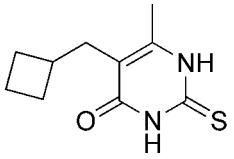
2-Cyclopropylmethyl-3-oxo-butyric acid isobutyl ester (7c). Using general procedure 5, isobutyl acetoacetate (**6b**) (500 mg, 3.2 mmol) was reacted with bromomethyl cyclopropane to generate the title compound (**7c**) as a colorless oil (200 mg, 30%) which was pushed to the next step without additional purification or characterization. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.04-0.06 (m, 2H), 0.43 (d, 2H, *J*=7 Hz), 0.65-0.68 (m, 1H), 0.91 (d, 6H, *J*=7 Hz), 1.75 (t, 2H, *J*=8 Hz), 1.92-1.95 (m, 1H), 3.23 (s, 3H), 3.55 (t, 1H, *J*=8 Hz), 3.90 (d, 2H, *J*=6 Hz).



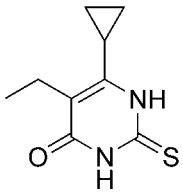
2-Cyclobutylmethyl-3-oxo-butyric acid isobutyl ester (7d). Using general procedure 5, isobutyl acetoacetate (**6b**) (500 mg, 3.2 mmol) was reacted with bromo methylcyclobutane to generate the title compound (**7d**) as a yellow solid which was pushed to the next step without additional purification or characterization (129 mg, 19%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.89 (d, 6H, *J*=7 Hz), 1.54-1.60 (m, 2H), 1.74-2.02 (m, 7H), 2.17 (s, 3H), 3.10 (t, 1H, *J*=8 Hz), 3.86 (d, 2H, *J*=7 Hz). ^{13}C NMR (125 MHz, $CDCl_3$) δ 18.4, 19.2, 27.8, 28.2, 28.9, 34.1, 35.3, 58.2, 71.5, 170.1, 203.3.



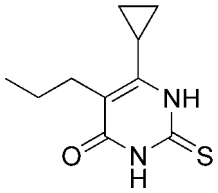
Methyl 2-(cyclopropanecarbonyl)pentanoate (7g). Using general procedure 4, 3-cyclopropyl-3-oxo-propionic acid methyl ester (**6c**) (250 mg, 1.76 mmol) was reacted with 1-iodopropane to produce the title compound (**7g**) as a yellow oil (312 mg, quantitiatve plus residual solvent), which was submitted into the next reaction without additional purification. ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.92-0.96 (m, 5H), 1.08-1.09 (m, 2H), 1.33-1.36 (m, 1H), 1.88-1.90 (m, 1H), 2.05-2.07 (m, 1H), 3.56 (t, 1H, *J*=7 Hz), 3.76 (s, 3H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 11.6, 14.0, 19.8, 21.0, 30.7, 52.5, 59.9, 171.6, 205.4. ESI-LCMS (low resolution) m/z calculated for $C_{10}H_{16}O_3$ [M+H] 185.1, found [M+H] 185.3.



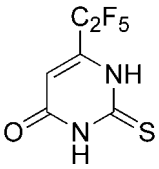
5-Cyclobutylmethyl-6-methyl-2-thioxo-2,3-dihydro-1H-pyrimidin-4-one (8d). Utilizing general procedure 6 with 2-cyclobutylmethyl-3-oxo-butyric acid isobutyl ester (**7d**) (120 mg, 0.53 mmol), light brown solid was obtained (56 mg, 50%). ^{1}H NMR (500 MHz, methanol-d_4) δ 1.70-1.85 (m, 4H), 1.99-2.02 (m, 2H), 2.20 (s, 3H), 2.48 (d, 2H, *J*=7 Hz), 2.51-2.54 (m, 1H). ESI-LCMS (high resolution) m/z calculated for $C_{10}H_{14}N_2OS$ [M+H] 211.0905, found [M+H] 211.0873.



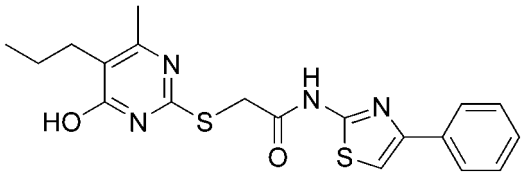
6-Cyclopropyl-5-ethyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-one (8f). Utilizing general procedure 6 with methyl 2-(cyclopropanecarbonyl)butanoate (**7f**) (500 mg, 3.52 mmol), white solid was obtained (116 mg, 20%). ^{1}H NMR (500 MHz, methanol-*d*$_4$) δ 0.92 - 0.95 (m, 2 H), 1.08-1.13 (m, 5H), 1.93-1.96 (m, 1H), 2.55 (q, *J*=7.36 Hz, 2H). ^{13}C NMR (125 MHz, methanol-*d*$_4$) δ 7.7, 12.2, 13.5, 18.9, 119.4, 153.6, 163.7, 176.2. ESI-LCMS (low resolution) m/z calculated for $C_9H_{12}N_2OS$ [M+H] 169.2, found [M+H] 169.3.



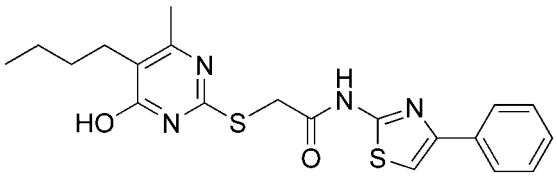
6-Cyclopropyl-5-propyl-2-thioxo-2,3-dihydropyrimidin-4(1H)-one (8g). Utilizing general procedure 6 with methyl 2-(cyclopropanecarbonyl)pentanoate (**7g**) (500 mg, 3.52 mmol), colorless solid was obtained (166 mg, 30%). ^{1}H NMR (500 MHz, methanol-*d*$_4$) δ 0.94 - 0.97 (m, 5H), 1.08-1.13 (m, 2H), 1.48-1.55 (m, 2H), 1.95-1.96 (m, 1H), 2.49 (dd, *J*=8.7, 6.8 Hz, 2H). ESI-LCMS (high resolution) m/z calculated for $C_{10}H_{14}N_2OS$ [M+Na] 233.0725, found [M+Na] 233.0719.



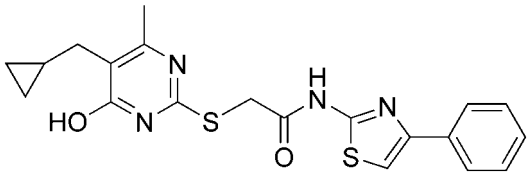
6-(Perfluoroethyl)-2-thioxo-2,3-dihydropyrimidin-4(1H)-one (8n). Utilizing general procedure 6 with 4,4,5,5,5-Pentafluoro-3-oxo-pentanoic acid ethyl ester (**6g**) (200 mg, 0.855 mmol), slightly brown solid was obtained (136 mg, 65%). ^{1}H NMR (500 MHz, acetone-d_6) δ 6.32 (s, 1 H). ESI-LCMS (low resolution) m/z calculated for $C_6H_3F_5N_2OS$ [M+H] 247.2, found [M+H] 247.0. ESI-LCMS (low resolution, negative mode ionization) m/z calculated for $C_6H_3F_5N_2OS$ [M-H] 245.9, found [M-H] 245.3.



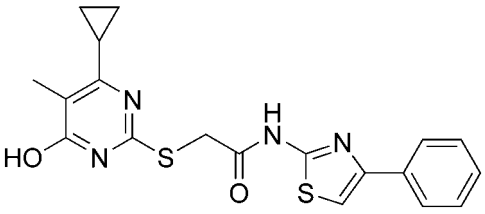
2-(4-Hydroxy-6-methyl-5-propyl-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9aa). Utilizing general procedure 7 with thiouracil **8a** (19 mg, 0.103 mmol) and aminothiazole bromoacetamide **2a** (32 mg, 0.108 mmol), solid was obtained (12 mg, 29%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.03 (t, *J*=5 Hz, 3H), 1.58-1.61 (m, 2H), 2.53-2.56 (m, 2H), 2.61 (s, 3H), 3.95 (s, 2H), 7.18 (s, 1H), 7.33 (t, *J*=7 Hz, 1H), 7.42 (t, *J*=7 Hz, 2H), 7.83 (d, *J*=7 Hz, 2H). ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{16}N_4O_2S_2$ [M+H] 373.1, found [M+H] 373.5.



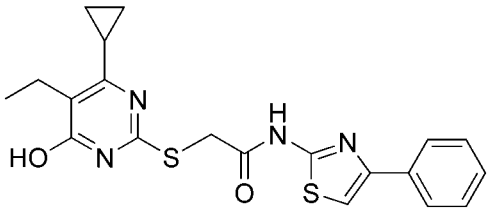
2-(5-Butyl-4-hydroxy-6-methyl-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9ab). Utilizing general procedure 7 with thiouracil **8b** (50 mg, 0.252 mmol) and aminothiazole bromoacetamide **2a** (79 mg, 0.265 mmol), white solid was obtained (20 mg, 19%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.88 (t, *J*=7 Hz, 3H), 1.27-1.36 (m, 4H), 2.16 (s, 3H), 2.33 (d, *J*=7 Hz, 2H), 4.33 (s, 2H), 7.33 (t, *J*=7 Hz, 1H), 7.43 (t, *J*=7 Hz, 2H), 7.61 (s, 1H), 7.89 (s, 1H). ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{22}N_4O_2S_2$ [M+H] 415.1, found [M+H] 415.5.



2-(5-Cyclopropylmethyl-4-hydroxy-6-methyl-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9ac). Utilizing general procedure 7 with thiouracil **8c** (30 mg, 0.153 mmo) and aminothiazole bromoacetamide **2a** (48 mg, 0.16 mmol), slightly brown was obtained (45 mg, 71%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.31-0.32 (m, 2H), 0.48-0.49 (m, 2H), 0.96-1.01 (m, 1H), 2.54 (d, *J*=7 Hz, 2H), 2.64 (s, 3H), 3.94 (s, 2H), 7.17 (s, 1H), 7.33 (t, *J*=7 Hz, 1H), 7.42 (t, *J*=7 Hz, 2H), 7.83 (d, *J*=7 Hz, 2H). ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{20}N_4O_2S_2$ [M+H] 413.1, found [M+H] 413.5.

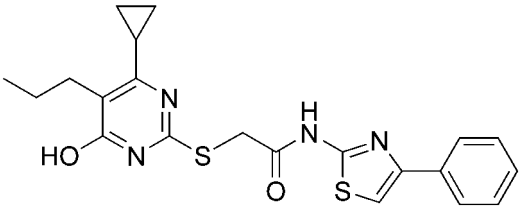


2-(4-Cyclopropyl-6-hydroxy-5-methyl-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9ad). Utilizing general procedure 7 with thiouracil **8e** (20 mg, 0.11 mmol) and aminothiazole bromoacetamide **2a** (33 mg, 0.11 mmol) , orange solid was obtained (32.1 mg, 73%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.71-0.73 (m, 2H), 0.83-0.98 (m, 2H), 1.90-1.91 (m, 1H), 1.96 (s, 3H), 4.08 (s, 2H), 7.33 (t, *J*=7 Hz, 1H), 7.44 (t, *J*=8 Hz, 2H), 7.62 (s, 1H), 7.91 (d, *J*=7 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 2.7, 8.2, 9.5, 12.8, 33.5, 108.0, 125.6, 127.7, 128.6, 134.2, 148.8, 157.8, 166.3. ESI-LCMS (low resolution) m/z calculated for $C_{19}H_{18}N_4O_2S_2$ [M+H] 399.5, found [M+H] 399.3.

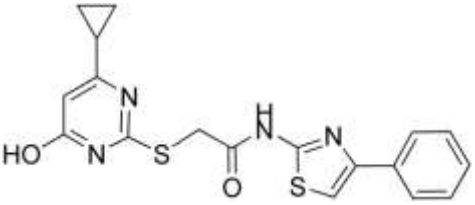


2-(4-Cyclopropyl-5-ethyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9ae). Utilizing general procedure 7 with thiouracil **8f** (20 mg, 0.102 mmol) and aminothiazole bromoacetamide **2a** (31 mg, 0.102 mmol), yellow solid was obtained (34.5 mg, 82%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.71-0.73 (m, 2H), 0.87-0.88 (m, 2H), 1.00-1.02 (t, *J*=7 Hz, 3H), 1.90-1.91 (m, 1H), 2.5 (q, 2H; obscured by solvent), 4.07 (s, 2H), 7.33 (s, 1H), 7.43 (m, 2H), 7.61-7.63 (m, 1H), 7.91 (d, *J*=10.0 Hz, 2H). ^{13}C

NMR (125 MHz, DMSO-d_6) δ 8.2, 12.5, 13.2, 17.0, 33.5, 108.0, 125.6, 127.7, 128.6, 134.2, 148.8, 157.9, 166.3. ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{20}N_4O_2S_2$ [M+H] 413.5, found [M+H] 413.3.

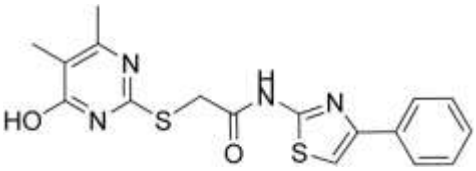


2-(4-Cyclopropyl-6-hydroxy-5-propyl-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9af). Utilizing general procedure 7 with thiouracil **8g** (20 mg, 0.095 mmol) and aminothiazole bromoacetamide **2a** (28 mg, 0.095 mmol), white solid was obtained (30.5 mg, 75%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.70-0.71 (m, 2H), 0.86-0.89 (m, 5H), 1.40-1.44 (m, 2H), 1.90-1.91 (m, 1H), 2.44 (t, *J*=7.4 Hz, 2H), 4.07 (s, 2H), 7.32 (t, *J*=7 Hz, 1 H), 7.43 (t, *J*=7 Hz, 2H), 7.62 (s, 1H), 7.91 (d, *J*=7 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 8.3, 12.7, 13.7, 21.7, 25.6, 33.5, 108.0, 125.6, 127.7, 128.6, 134.2, 148.8, 157.9, 166.3. ESI-LCMS (low resolution) m/z calculated for $C_{21}H_{22}N_4O_2S_2$ [M+H] 427.5, found [M+H] 427.2.

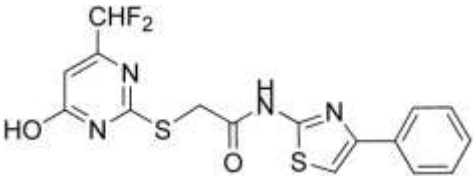


2-(4-Cyclopropyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9ah). Utilizing general procedure 7 with thiouracil **8i** (20 mg, 0.119 mmol)

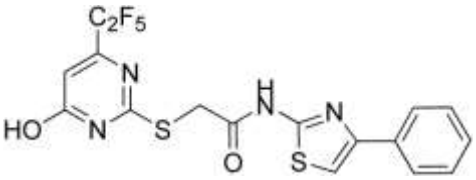
and aminothiazole bromoacetamide **2a** (35 mg, 0.119 mmol), light yellow solid was obtained (31 mg, 68%). ^{1}H NMR (500 MHz, acetone-d_6) δ 0.83-0.85 (m, 2H), 1.07-1.11 (m, 2H), 1.83-1.85 (m, 1H), 4.17 (s, 2H), 6.14 (s, 1H), 7.29 (t, *J*=8 Hz, 1H), 7.38 (t, *J*=8 Hz, 2H), 7.47 (s, 1H), 7.91 (d, *J*=8 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 8.4, 16.0, 33.7, 108.0, 125.6, 127.7, 128.6, 134.2, 148.8, 157.8, 166.4. ESI-LCMS (low resolution) m/z calculated for $C_{18}H_{16}N_4O_2S_2$ [M+H] 385.5, found [M+H] 385.3.



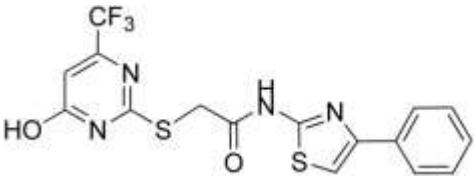
2-(4-Hydroxy-5,6-dimethyl-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9ak). Utilizing general procedure 7 with thiouracil **8l** (10 mg, 0.064 mmol) and aminothiazole bromoacetamide **2a** (20 mg, 0.067 mmol), pink solid was obtained (15.5 mg, 65%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 1.85 (s, 3H), 2.12 (s, 3H), 4.14 (s, 2H), 7.33 (t, *J*=8 Hz, 1H), 7.44 (t, *J*=8 Hz, 2H), 7.62 (s, 1H), 7.91 (d, *J*=8 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 10.2, 33.5, 108.0, 125.6, 127.7, 128.6, 134.2, 148.8, 157.8, 166.8. ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{16}N_4O_2S_2$ [M+H] 373.1, found [M+H] 373.5.



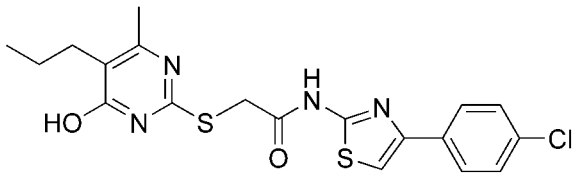
2-(4-Difluoromethyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9al). Utilizing general procedure 7 with thiouracil **8m** (20 mg, 0.081 mmol) and aminothiazole bromoacetamide **2a** (34 mg, 0.113 mmol), yellow solid was obtained (28 mg, 62%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 4.00 (s, 2H), 5.92 (s, 1H), 6.46 (t, *J*=55 Hz, 1H), 7.32 (t, *J*=7 Hz, 1H), 7.42 (t, *J*=7 Hz, 2 H), 7.61 (s, 1H), 7.89 (d, *J*=7 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 33.6, 104.8, 107.9, 113.0, 114.9, 125.6, 127.6, 128.5, 134.2, 148.7, 157.6, 167.9. ESI-LCMS (low resolution) m/z calculated for $C_{16}H_{12}F_2N_4O_2S_2$ [M+H] 395.4, found [M+H] 395.5.



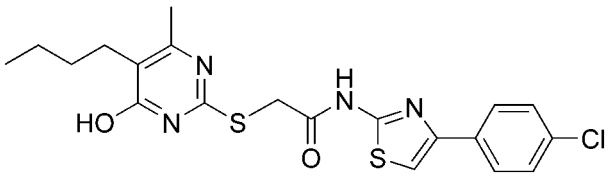
2-(4-Hydroxy-6-pentafluoroethyl-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9am). Utilizing general procedure 7 with thiouracil **8n** (30 mg, 0.12 mmol) and aminothiazole bromoacetamide **2a** (36 mg, 0.12), yellow solid was obtained (5 mg, 10%) after required preparative HPLC purification. ^{1}H NMR (500 MHz, acetone-d_6) δ 4.40 (s, 2H), 6.63 (s, 1H), 7.32 (t, *J* =7 Hz, 1H), 7.40 (t, *J*=7 Hz, 7H), 7.48 (s, 1H), 7.92 (d, *J*=7Hz, 2 H). ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{11}F_5N_4O_2S_2$ [M+H] 463.4, found [M+H] 463.0.



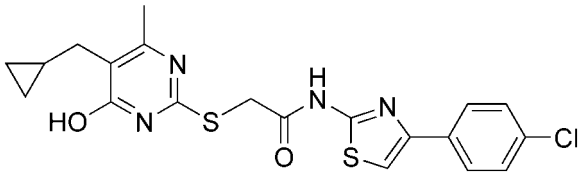
2-(4-Hydroxy-6-trifluoromethyl-pyrimidin-2-ylsulfanyl)-N-(4-phenyl-thiazol-2-yl)-acetamide (9an). Utilizing general procedure 7 with thiouracil **8o** (20 mg, 0.102 mmol) and aminothiazole bromoacetamide **2a** (35 mg, 0.102 mmol), yellow solid was obtained (35 mg, 76%). ^{1}H NMR (500 MHz, acetone-d_6) δ 4.15 (s, 2H), 6.48 (s, 1H), 7.25 (t, *J*=7 Hz, 1 H), 7.34 (t, *J*=7 Hz, 2H), 7.41 (s, 1H), 7.87 (d, *J*=7 Hz, 2H). ^{13}C NMR (125 MHz, acetone-d_6) δ 33.3, 105.8, 107.58, 126.0, 127.7, 128.6, 134.9, 149.9, 157.9, 167.9, 169.0, 170.8. ESI-LCMS (low resolution) m/z calculated for $C_{16}H_{11}F_3N_4O_2S_2$ [M+H] 413.4, found [M+H] 413.3.



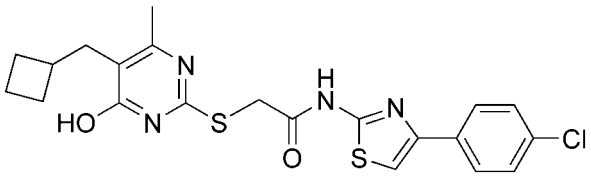
N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-hydroxy-6-methyl-5-propyl-pyrimidin-2-ylsulfanyl)-acetamide (9ap). Utilizing general procedure 7 with thiouracil **8a** (20 mg, 0.11 mmol) and aminothiazole bromoacetamide **2b** (38 mg, 0.114 mmol), colorless solid was obtained (47 mg, 98%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.03 (t, *J*=8 Hz, 3H), 1.58 (hextet, *J*=8 Hz, 2H), 2.55 (t, *J*=8 Hz, 2H), 2.60 (s, 3H), 3.95 (s, 2H), 7.16 (s, 1H), 7.39 (d, *J*=9 Hz, 2H), 7.76 (d, *J*=9 Hz, 2H). ESI-LCMS (low resolution) m/z calculated for $C_{19}H_{19}ClN_4O_2S_2$ [M+H] 435.1, found [M+H] 435.3.



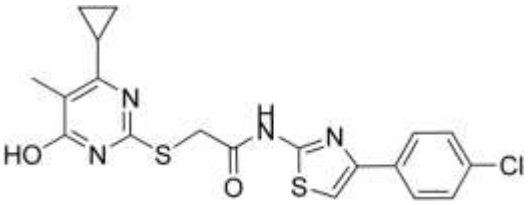
2-(5-Butyl-4-hydroxy-6-methyl-pyrimidin-2-ylsulfanyl)-N-[4-(4-chloro-phenyl)-thiazol-2-yl]-acetamide (9aq). Utilizing general procedure 7 with thiouracil **8b** (50 mg, 0.25 mmol) and aminothiazole bromoacetamide **2b** (88 mg, 0.27 mmol), slightly yellow solid was obtained (64 mg, 57%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.98 (t, *J*=8 Hz, 3H), 1.45-1.56 (m, 4H), 2.56 (t, *J*=8 Hz, 2H), 2.60 (s, 3H), 3.95 (s, 2H), 7.16 (s, 1H), 7.41 (d, *J*=9 Hz, 2H), 7.76 (d, *J*=9 Hz, 2H). ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{21}ClN_4O_2S_2$ [M+H] 449.1, found [M+H] 449.3.



N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(5-cyclopropylmethyl-4-hydroxy-6-methyl-pyrimidin-2-ylsulfanyl)-acetamide (9ar). Utilizing general procedure 7 with thiouracil **8c** (30 mg, 0.15 mmol) and aminothiazole bromoacetamide **2b** (53 mg, 0.16 mmol), colorless solid was obtained (60 mg, 90%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 0.31-0.32 (m, 2H), 0.48-0.51 (m, 2H), 0.96-1.00 (m, 1H), 2.53 (d, *J*=6 Hz, 2H), 2.63 (s, 3H), 3.96 (s, 2H), 7.16 (s, 1H), 7.38 (d, *J*=8 Hz, 2H), 7.76 (d, *J*=8 Hz, 2H). ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{19}ClN_4O_2S_2$ [M+H] 447.1, found [M+H] 447.3.

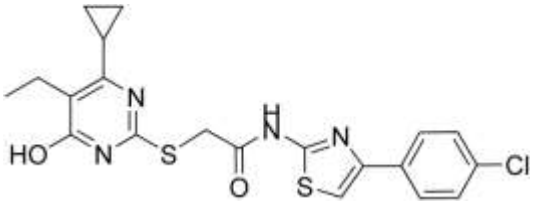


N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(5-cyclobutylmethyl-4-hydroxy-6-methyl-pyrimidin-2-ylsulfanyl)-acetamide (9as). Utilizing general procedure 7 with thiouracil **8d** (46 mg, 0.22 mmol) and aminothiazole bromoacetamide **2b** (76 mg, 0.23 mmol), slightly brown solid was obtained (57 mg, 57%). ^{1}H NMR (500 MHz, $CDCl_3$) δ 1.80-1.87 (m, 4H), 2.04-2.06 (m, 2H), 2.61 (s, 3H), 2.67 (d, *J*=7 Hz, 2H), 3.93 (s, 2H), 7.15 (s, 1H), 7.37 (d, *J*=8 Hz, 2H), 7.75 (d, *J*=8 Hz, 2H). ^{13}C NMR (125 MHz, $CDCl_3$) δ 18.8, 21.7, 28.6, 31.9, 34.1, 35.7, 108.3, 120.7, 127.4, 129.1, 133.1, 133.9, 149.2, 157.8, 161.0, 165.5, 166.9. ESI-LCMS (low resolution) m/z calculated for $C_{21}H_{21}ClN_4O_2S_2$ [M+H] 461.1, found [M+H] 461.5.

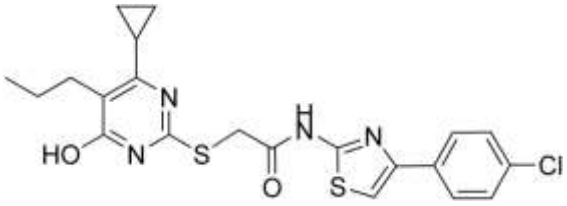


N-(4-(4-chlorophenyl)thiazol-2-yl)-2-((4-cyclopropyl-6-hydroxy-5-methylpyrimidin-2-yl)thio)acetamide (9at). Utilizing general procedure 7 with thiouracil **8e** (20 mg, 0.11 mmol) and aminothiazole bromoacetamide **2b** (39 mg, 0.11 mmol), dark orange solid was obtained (43mg, 90%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.70- 0.72 (m, 2H), 0.86-0.97 (m, 2H), 1.89-1.91 (m, 1H), 1.96 (s, 3H), 4.06 (s, 2H), 7.49 (d, *J*=9 Hz, 2H), 7.68 (s, 1H), 7.91 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 8.2, 9.5, 12.8, 33.6, 108.7,

127.3, 128.6, 132.2, 133.1, 147.6, 158.1, 166.5. ESI-LCMS (low resolution) m/z calculated for $C_{19}H_{17}ClN_4O_2S_2$ [M+H] 433.9, found [M+H] 433.3.

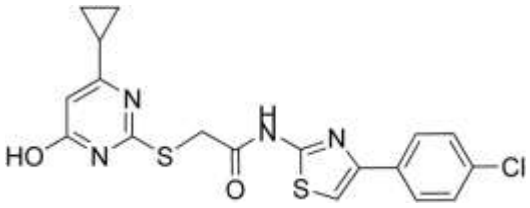


N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-cyclopropyl-5-ethyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-acetamide (9au). Utilizing general procedure 7 with thiouracil **8f** (20 mg, 0.10 mmol) and aminothiazole bromoacetamide **2b** (34 mg, 0.10 mmol), ivory-colored solid was obtained (39 mg, 85%). ^{1}H NMR (500 MHz, DMSO-*d*$_6$) δ 0.69-0.72 (m, 2H), 0.86-0.89 (m, 2H), 1.00 (t, *J*=7 Hz, 3H), 1.88-1.94 (m, 1H), 2.43-2.48 (m, 2H), 4.07 (s, 2H), 7.49 (d, *J*=8 Hz, 2H), 7.69 (s, 1H), 7.91 (d, *J*=8 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-*d*$_6$) δ 8.1, 12.3, 13.1, 16.8, 33.4, 108.6, 127.1, 128.5, 132.0, 132.9, 147.4, 157.9, 166.2. ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{19}ClN_4O_2S_2$ [M+H] 447.9, found [M+H] 447.3.

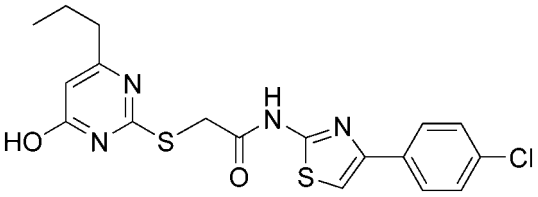


N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-cyclopropyl-6-hydroxy-5-propyl-pyrimidin-2-ylsulfanyl)-acetamide (9av). Utilizing general procedure 7 with thiouracil **8g** (20 mg, 0.095 mmol) and aminothiazole bromoacetamide **2b** (32 mg, 0.095 mmol),

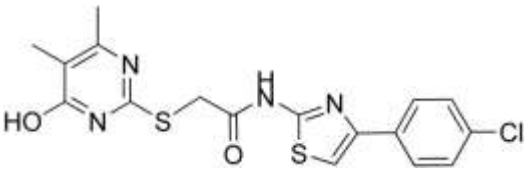
light orange solid was obtained (26 mg, 59%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.69-0.72 (m, 2H), 0.85-0.92 (m, 5H), 1.42 (hextet, *J*=8 Hz, 2H), 1.89-1.94 (m, 1H), 2.44 (t, *J*=8 Hz, 2H), 4.06 (s, 2H), 7.50 (d, *J*=9 Hz, 2H), 7.69 (s, 1 H), 7.92 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 8.5, 12.9, 13.9, 21.9, 25.8, 33.7, 108.9, 127.5, 128.8, 132.3, 133.3, 147.7, 158.3, 166.7. ESI-LCMS (low resolution) m/z calculated for $C_{21}H_{21}ClN_4O_2S_2$ [M+H] 461.0, found [M+H] 461.2.



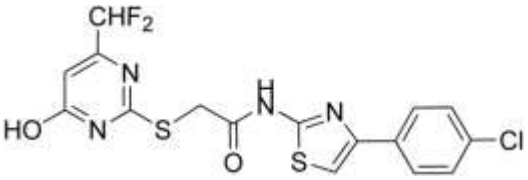
N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-cyclopropyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-acetamide (9aw). Utilizing general procedure 7 with thiouracil **8i** (20 mg, 0.12 mmol) and aminothiazole bromoacetamide **2b** (39 mg, 0.12 mmol), dark orange solid was obtained (38 mg, 75%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.70-0.73 (m, 2H), 0.84-0.87 (m, 2H), 1.71-1.75 (m, 1H), 4.06 (s, 2H), 6.02 (s, 1H), 7.49 (d, *J*=9 Hz, 2H), 7.69 (s, 1H), 7.91 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 8.3, 15.9, 33.7, 104.7, 108.7, 127.3, 128.6, 132.2, 133.1, 147.6, 158.1, 166.7. ESI-LCMS (low resolution) m/z calculated for $C_{18}H_{15}ClN_4O_2S_2$ [M+H] 419.9, found [M+H] 419.3.



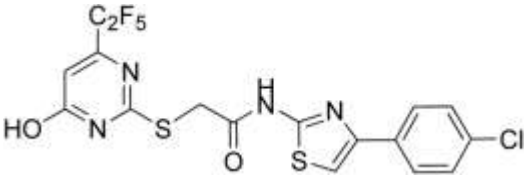
N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-hydroxy-6-propyl-pyrimidin-2-ylsulfanyl)-acetamide (9ay). Utilizing general procedure 7 with thiouracil **8k** (50 mg, 0.29 mmol) and aminothiazole bromoacetamide **2b** (103 mg, 0.308 mmol), slightly brown solid was obtained (107 mg, 87%). ^{1}H NMR (500 MHz, acetone-d_6) δ 0.89 (t, *J*=8 Hz, 3H), 1.66 (hextet, *J*=8 Hz, 2H), 2.48 (t, *J*=8 Hz, 2H), 4.05 (s, 2H), 5.95 (s, 1H), 7.39 (d, *J*=10 Hz, 2H), 7.41 (s, 1H), 7.90 (d, *J*=10 Hz, 2H). ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{15}ClN_4O_2S_2$ [M+H] 421.1, found [M+H] 421.3.



N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-hydroxy-5,6-dimethyl-pyrimidin-2-ylsulfanyl)-acetamide (9az). Utilizing general procedure 7 with thiouracil **8l** (20 mg, 0.13 mmol) and aminothiazole bromoacetamide **2b** (42 mg, 0.13 mmol), mustard yellow solid was obtained (37 mg, 72%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 1.84 (s, 3H), 2.12 (s, 3H), 4.10 (s, 2H), 7.48 (d, *J*=9 Hz, 2 H), 7.67 (s, 1H), 7.90 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 10.3, 20.9, 33.6, 108.7, 127.3, 128.6, 132.2, 133.1, 147.6, 158.0, 167.1. ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{15}ClN_4O_2S_2$ [M+H] 407.9, found [M+H] 407.3.

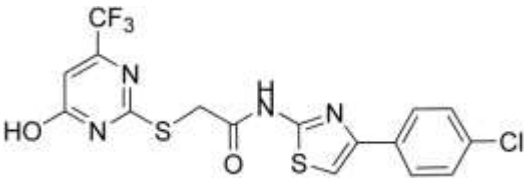


N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-difluoromethyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-acetamide (9ba). Utilizing general procedure 7 with thiouracil **8m** (20 mg, 0.11 mmol) and aminothiazole bromoacetamide **2b** (37 mg, 0.11 mmol), dark orange solid was obtained (28 mg, 58%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 4.16 (s, 2H), 6.24 (br s, 1H), 6.54 (t, *J*=55 Hz, 1H), 7.49 (d, *J*=9 Hz, 2H), 7.68 (s, 1 H), 7.91 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 33.8, 63.2, 82.9, 108.8, 127.3, 128.6, 132.2, 133.1, 147.6, 157.9. ESI-LCMS (low resolution, negative mode ionization) m/z calculated for $C_{16}H_{11}ClF_2N_4O_2S_2$ [M-H] 427.8, found [M-H] 427.0.

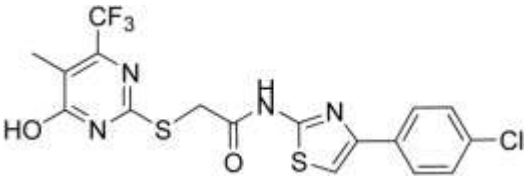


N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-hydroxy-6-pentafluoroethyl-pyrimidin-2-ylsulfanyl)-acetamide (9bb). Utilizing general procedure 7 with thiouracil **8n** (30 mg, 0.12 mmol) and aminothiazole bromoacetamide **2b** (40 mg, 0.12 mmol), followed by purification by preparative HPLC, yellow solid was obtained (2 mg, 3%). ^{1}H NMR (500 MHz, acetone-d_6) δ 4.38 (s, 2H), 6.64 (s, 1H), 7.42 (d, *J*=9 Hz, 2H), 7.53 (s, 1H), 7.92

(d, *J*=9 Hz, 2H). ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{10}ClF_5N_4O_2S_2$ [M+H] 497.8, found [M+H] 497.2.

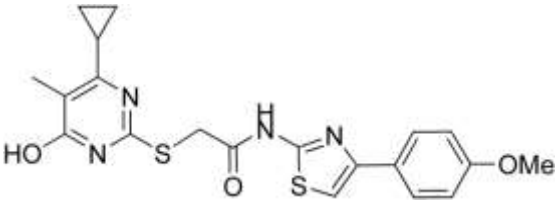


N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-hydroxy-6-trifluoromethyl-pyrimidin-2-ylsulfanyl)-acetamide (9bc). Utilizing general procedure 7 with thiouracil **8o** (20 mg, 0.10 mmol) and aminothiazole bromoacetamide **2b** (34 mg, 0.10 mmol), mustard yellow solid was obtained (15 mg, 33%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 3.97 (s, 2 H), 5.89 (s, 1 H), 7.49 (m, *J*=9 Hz, 2 H), 7.67 (s, 1 H), 7.91 (d, *J*=9 Hz, 2 H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 33.6, 104.4, 108.7, 127.3, 128.6, 132.1, 133.1, 147.5, 157.8, 168.2. ESI-LCMS (low resolution) m/z calculated for $C_{16}H_{10}ClF_3N_4O_2S_2$ [M+H] 447.8, found [M+H] 447.0.

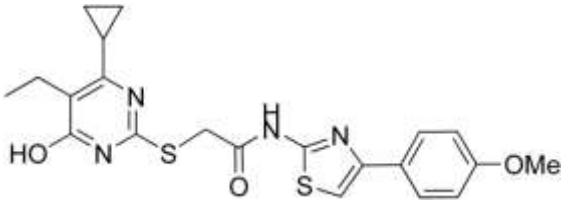


N-[4-(4-Chloro-phenyl)-thiazol-2-yl]-2-(4-hydroxy-5-methyl-6-trifluoromethyl-pyrimidin-2-ylsulfanyl)-acetamide (9bd). Utilizing general procedure 7 with thiouracil **8p** (10 mg, 0.048 mmol) and aminothiazole **2b** (16 mg, 0.048 mmol), orange solid was obtained (8.3 mg, 38%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 1.92 (s, 3H), 3.95 (s, 2H), 7.48 (d, *J*=9 Hz, 2H), 7.66 (s, 1H), 7.90 (d, *J*=10.0 Hz, 2H). ^{13}C NMR (125 MHz,

DMSO-d_6) δ 10.4, 33.6, 108.7, 127.3, 128.6, 132.1, 133.1, 147.5, 157.8. ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{12}ClF_3N_4O_2S_2$ [M+H] 461.8, found [M+H] 461.0.

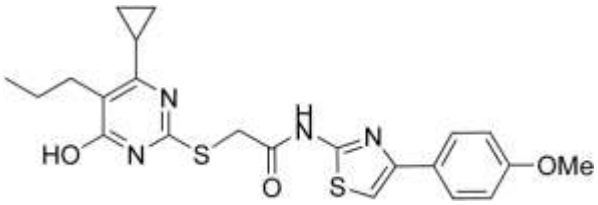


2-(4-Cyclopropyl-6-hydroxy-5-methyl-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9be). Utilizing general procedure 7 with thiouracil **8e** (20 mg, 0.12 mmol) and aminothiazole bromoacetamide **2c** (36 mg, 0.12 mmol), orange solid was obtained (46 mg, 97%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.71-0.73 (m, 2H), 0.87-0.90 (m, 2H), 1.88-1.97 (s, 4H), 3.79 (s, 3H), 4.06 (s, 2H), 6.99 (d, *J*=9 Hz, 2 H), 7.44 (s, 1 H), 7.83 (d, *J*=9 Hz, 2 H). ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{20}N_4O_3S_2$ [M+H] 429.5, found [M+H] 429.3.

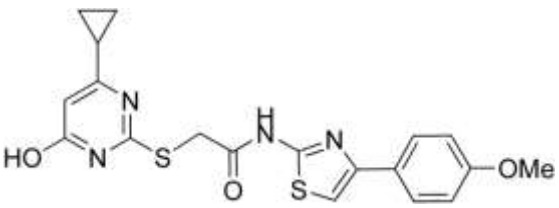


2-(4-Cyclopropyl-5-ethyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9bf). Utilizing general procedure 7 with thiouracil **8f** (20 mg, 0.10 mmol) and aminothiazole bromoacetamide **2c** (33 mg, 0.10 mmol), dark orange solid was obtained (37 mg, 81%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.71-0.73 (m, 2H), 0.88-0.89 (m, 2H), 0.99 (t, *J*=8 Hz, 3H), 1.88-1.91 (m, 1H), 2.50 (q, J=8 Hz, 2H),

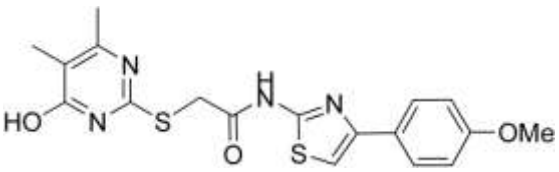
3.79 (s, 3H), 4.06 (s, 2H), 6.98 (d, *J*=9 Hz, 2H), 7.45 (s, 1H), 7.83 (d, *J*=9 Hz, 2 H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 7.2, 12.6, 13.4, 17.1, 33.7, 55.2, 106.1, 114.2, 127.1, 127.3, 133.9, 148.9, 157.9, 159.1. ESI-LCMS (low resolution) m/z calculated for $C_{21}H_{22}N_4O_3S_2$ [M-H] 441.5, found [M-H] 441.3.



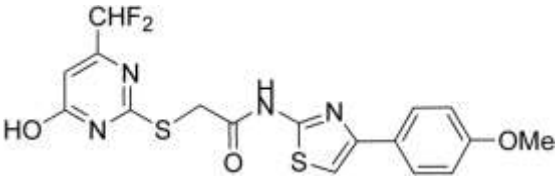
2-(4-Cyclopropyl-6-hydroxy-5-propyl-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9bg). Utilizing general procedure 7 with thiouracil **8g** (20 mg, 0.095 mmol) and aminothiazole bromoacetamide **2c** (31 mg, 0.095 mmol), orange solid was obtained (29 mg, 67%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.70-0.73 (m, 2H), 0.87-0.90 (m, 5H), 1.40-1.45 (m, 2H), 1.90-1.93 (m, 1H), 2.44 (t, *J*=9 Hz, 2H), 3.79 (s, 3H), 4.06 (s, 2H), 6.99 (d, *J*=9 Hz, 2H), 7.45 (s, 1H), 7.83 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 8.2, 12.5, 13.6, 13.8, 21.5, 25.5, 33.4, 54.9, 105.8, 113.9, 126.7, 126.9, 148.5, 157.6, 158.8, 166.1. ESI-LCMS (low resolution) m/z calculated for $C_{25}H_{29}F_3N_4O_3S_2$ [M-H] 455.5, found [M-H] 455.3.



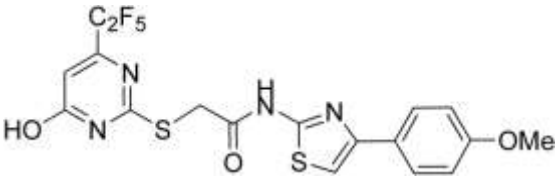
2-(4-Cyclopropyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9bh). Utilizing general procedure 7 with thiouracil **8i** (20 mg, 0.12 mmol) and aminothiazole bromoacetamide **2c** (39 mg, 0.12 mmol), light brown solid was obtained (34 mg, 69%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.72- 0.74 (m, 2H), 0.87-0.88 (m, 2H), 1.73-1.75 (m, 1H), 3.80 (s, 3H), 4.07 (s, 2H), 6.04 (br s, 1 H), 7.0 (d, *J*=9 Hz, 2H), 7.45 (s, 1H), 7.83 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 8.5, 16.1, 33.9, 55.2, 106.1, 114.2, 127.1, 148.9, 157.8, 159.1. ESI-LCMS (low resolution, negative mode ionization) m/z calculated for $C_{19}H_{18}N_4O_3S_2$ [M-H] 414.5, found [M-H] 415.0.



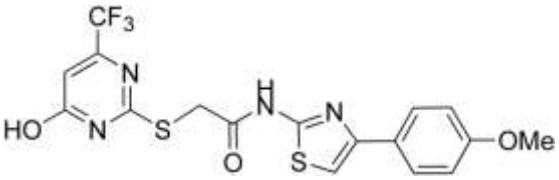
2-(4-Hydroxy-5,6-dimethyl-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9bi). Utilizing general procedure 7 with thiouracil **8l** (20mg, 0.13 mmol) and aminothiazole bromoacetamide **2c** (42 mg, 0.13 mmol), light brown solid was obtained (40 mg, 78%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 1.85 (s, 3H), 2.12 (s, 3H), 3.79 (s, 3H), 4.12 (s, 2H), 6.99 (d, *J*=9 Hz, 2H), 7.44 (s, 1H), 7.82 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 10.3, 29.13, 33.6, 55.1, 106.0, 114.0, 126.9, 127.0, 148.7, 157.6, 158.9, 166.7. ESI-LCMS (low resolution) m/z calculated for $C_{18}H_{18}N_4O_3S_2$ [M+H] 403.5, found [M+H] 403.5.



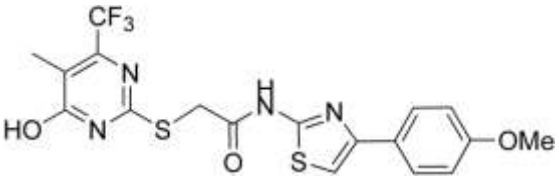
2-(4-Difluoromethyl-6-hydroxy-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9bj). Utilizing general procedure 7 with thiouracil **8m** (20mg, 0.11 mmol) and aminothiazole bromoacetamide **2c** (37mg, 0.11 mmol), brown solid was obtained (36 mg, 75%). ^{1}H NMR (500 MHz, acetone-d_6) δ 3.79 (s, 3H), 4.05- 4.08 (m, 2H), 6.50 (t, *J*=55 Hz, 1H), δ 6.91 (d, *J*=9 Hz, 2 H), 7.23 (s, 1H), 7.80 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, acetone-d_6) δ 35.5, 56.2, 106.9, 114.4 (t, J=243 Hz), 115.4, 128.7, 129.1, 151.2, 159.1, 161.1, 169.4. ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{14}F_2N_4O_3S_2$ [M+H] 425.4, found [M+H] 425.5.



2-(4-Hydroxy-6-pentafluoroethyl-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9bk). Utilizing general procedure 7 with thiouracil **8n** (30 mg, 0.12 mmol) and aminothiazole bromoacetamide **2c** (40 mg, 0.12 mmol), yellow solid was obtained (7 mg, 12%). ^{1}H NMR (500 MHz, acetone-d_6) δ 3.83 (s, 3H), 4.39 (s, 2H), 6.63 (s, 1H), 6.96 (d, *J*=9 Hz, 2H), 7.31 (s, 1H), 7.84 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, acetone-d_6) δ 35.9, 56.3, 107.3, 111.0, 115.5, 128.8, 166.8. ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{13}F_3N_4O_3S_2$ [M+H] 443.4, found [M+H] 443.2.

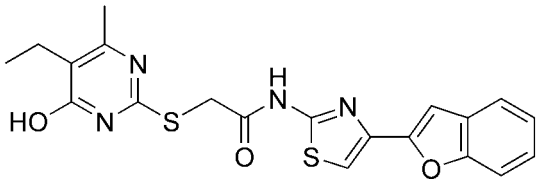


2-(4-Hydroxy-6-trifluoromethyl-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9bl). Utilizing general procedure 7 with thiouracil **8o** (20 mg, 0.10 mmol) and aminothiazole bromoacetamide bromoacetamide **2c** (33 mg, 0.10 mmol), dark brown solid was obtained (41 mg, 91%). ^{1}H NMR (500 MHz, acetone-d_6) δ 3.81 (s, 3H), 4.22 (s, 2H), 6.53 (s, 1H), 6.91 (d, *J*=9 Hz, 2H), 7.25 (s, 1H), 7.78 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, acetone-d_6) δ 35.7, 56.2, 107.0, 107.4, 115.4, 128.6, 129.1, 151.2, 159.0, 161.1, 168.3. ESI-LCMS (low resolution) m/z calculated for $C_{17}H_{13}F_3N_4O_3S_2$ [M+H] 443.4, found [M+H] 443.2.

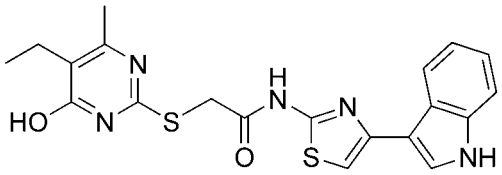


2-(4-Hydroxy-5-methyl-6-trifluoromethyl-pyrimidin-2-ylsulfanyl)-N-[4-(4-methoxy-phenyl)-thiazol-2-yl]-acetamide (9m). Utilizing general procedure 7 with thiouracil **8p** (10 mg, 0.048 mmol) and aminothiazole bromoacetamide **2c** (16 mg, 0.048 mmol), orange solid was obtained (21 mg, 97%). ^{1}H NMR (500 MHz, acetone-d_6) δ 2.10 (s, 3H), 3.81 (s, 3H), 4.19 (s, 2H), 6.92 (d, *J*=9 Hz, 2H), 7.24 (s, 1H), 7.78 (d, *J*=9 Hz, 2H). ^{13}C NMR (125 MHz, acetone-d_6) δ 11.0, 35.6, 56.3, 107.0, 115.5, 128.9, 129.2, 151.3, 159.0,

161.2, 168.4. ESI-LCMS (low resolution) m/z calculated for $C_{18}H_{15}F_3N_4O_3S_2$ [M+H] 457.4, found [M+H] 457.3.

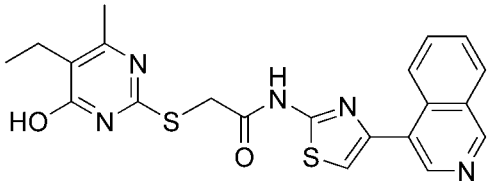


N-(4-Benzofuran-2-yl-thiazol-2-yl)-2-(5-ethyl-4-hydroxy-6-methyl-pyrimidin-2-ylsulfanyl)-acetamide (9bn). Utilizing general procedure 7 with thiouracil **8h** (25.0 mg, 0.147 mmol) and aminothiazole chloroacetamide **5a** (43 mg, 0.15 mmol), brown solid was obtained (6.6 mg, 10%). ^{1}H NMR (500 MHz, methanol-d_4) δ 1.05 (t, *J*=7 Hz, 3H), 1.33 (s, 1H), 2.28 (s, 3H), 2.47 (q, *J*=7 Hz, 2H), 4.14 (s, 2H), 7.08 (s, 1H), 7.23 (m, 7.20-7.24, 2H), 7.30 (d, *J*=7 Hz, 1H), 7.60 (d, *J*=5 Hz, 1H). ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{18}N_4O_3S_2$ [M+H] 427.5, found [M+H] 427.2.

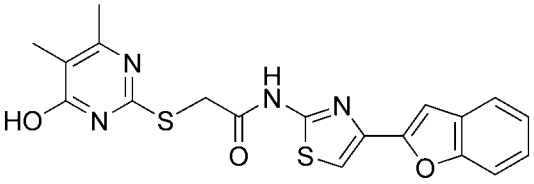


2-(5-Ethyl-4-hydroxy-6-methyl-pyrimidin-2-ylsulfanyl)-N-[4-(1H-indol-3-yl)-thiazol-2-yl]-acetamide (9bp). Utilizing general procedure 7 with thiouracil **8h** (90 mg, 0.528 mmol) and aminothiazole chloroacetamide **5c** (155 mg, 0.528 mmol), yellow solid was obtained (23 mg, 10%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 0.96 (t, *J*=7, 3H), 2.18 (s, 3H), 2.34 (q, *J*=7, 2H), 4.09 (s, 2H), 7.10 (t, *J*=7, 1H), 7.15 (t, *J*=7, 1H), 7.28 (s, 1H), 7.43 (d, *J*=8, 1H), 7.73 (br d, *J*=7, 1H), 8.10 (d, *J*=7, 1H), 11.28 (s, 1H). ^{13}C NMR (125 MHz,

DMSO-d_6) δ 12.6, 18.0, 20.3, 33.6, 103.7, 111.1, 111.7, 119.4, 120.0, 121.4, 124.0, 124.6, 136.5, 145.5, 156.9, 162.2, 164.4, 165.0, 166.9. ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{21}N_5O_2S_2$ [M+H] 426.1, found [M+H] 426.5.

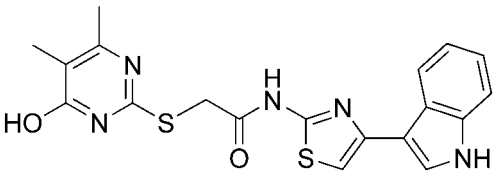


2-(5-Ethyl-4-hydroxy-6-methyl-pyrimidin-2-ylsulfanyl)-N-(4-isoquinolin-4-yl-thiazol-2-yl)-acetamide (9bq). Utilizing general procedure 7 with thiouracil **8h** (25.0 mg, 0.147 mmol) and aminothiazole chloroacetamide **5d** (45 mg, 0.17 mmol), white solid was obtained after purification by preparative HPLC (4.5 mg, 7%). ^{1}H NMR (500 MHz, acetone-d_6) δ 0.96 (t, *J*=7 Hz, 3H), 2.15 (s, 3H), 2.34 (q, *J*=7 Hz, 2H), 7.70 (s, 1H), 7.84 (t, *J*=5 Hz, 1H), 7.94 (d, *J*=5 Hz, 1H), 8.31 (d, *J*=10 Hz, 1H), 8.55 (d, *J*=10 Hz, 1H), 8.76 (s, 1H), 9.47 (s, 1H). ESI-LCMS (low resolution) m/z calculated for $C_{21}H_{19}N_5O_2S_2$ [M+H] 438.5, found [M+H] 438.3.

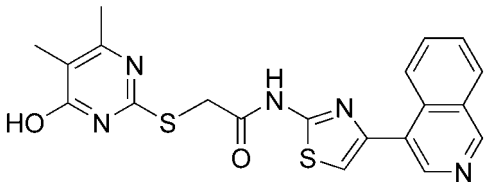


N-(4-Benzofuran-2-yl-thiazol-2-yl)-2-(4-hydroxy-5,6-dimethyl-pyrimidin-2-ylsulfanyl)-acetamide (9br). Utilizing general procedure 7 with thiouracil **8l** (25.0 mg, 0.160 mmol) and aminothiazole chloroacetamide **5a** (47 mg, 0.16 mmol), yellow solid was obtained (24.5 mg, 37%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 1.85 (s, 3H), 2.10 (s,

3H), 4.15 (s, 2H), 7.14 (s, 1H), 7.29 (t, *J*=5 Hz, 1H), 7.34 (t, *J*=6 Hz, 1H), 7.615 (d, *J*=5 Hz, 1H), 7.64 (s, 1H), 7.685 (d, *J*=5 Hz, 1H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 11.8, 21.1, 36.4, 102.1, 108.9, 109.2, 111.8, 121.6, 123.6, 124.6, 129.25, 140.2, 146.3, 154.6, 156.9, 161.9, 163.3, 167.4, 169.3. ESI-LCMS (low resolution) m/z calculated for $C_{19}H_{16}N_4O_3S_2$ [M+H] 413.4, found [M+H] 413.3.



2-(4-Hydroxy-5,6-dimethyl-pyrimidin-2-ylsulfanyl)-N-[4-(1H-indol-3-yl)-thiazol-2-yl]-acetamide (9bt). Utilizing general procedure 7 with thiouracil **8l** (13.0 mg, 0.085 mmol) and aminothiazole chloroacetamide **5c** (25.00 mg, 0.085 mmol), brown solid was obtained (2.1 mg, 6%). ^{1}H NMR (500 MHz, methanol-d_4) δ 1.99 (s, 3H), 2.32 (s, 3H), 4.16 (s, 2H), 7.14 (t, J=7 Hz, 1H), 7.17 (s, 1H), 7.18 (t, *J*=5 Hz, 1H), 7.42 (d, *J*=8 Hz, 1H), 7.70 (s, 1 H), 8.05 (d, *J*=8 Hz, 1H). ^{13}C NMR (125 MHz, DMSO-d_6) δ 14.6, 21.2, 34.2, 104.3, 110.7, 112.3, 119.8, 120.0, 120.6, 122.0, 124.6, 125.1, 137.1, 148.6, 157.5, 162.1, 167.1, 174.0, 174.3. ESI-LCMS (low resolution) m/z calculated for $C_{19}H_{17}N_5O_2S_2$ [M+H] 412.5, found [M+H] 412.0.



2-(4-Hydroxy-5,6-dimethyl-pyrimidin-2-ylsulfanyl)-N-(4-isoquinolin-4-yl-thiazol-2-yl)-acetamide (9bu). Utilizing general procedure 7 with thiouracil **8l** (25.0 mg, 0.160 mmol) and aminothiazole chloroacetamide **5d** (49 mg, 0.160 mmol), after purification by preparative HPLC, brown solid was obtained (5.3 mg, 8%). ^{1}H NMR (500 MHz, DMSO-d_6) δ 1.86 (s, 3H), 2.12 (s, 3H), 4.18 (s, 2H), 7.63 (s, 1H), 7.76 (m, 1H), 7.85 (m, 1H), 8.22 (d, *J*=10 Hz, 1H), 8.48 (d, *J*=10 Hz, 1H), 8.72 (s, 1H), 9.35 (s, 1H). ESI-LCMS (low resolution) m/z calculated for $C_{20}H_{17}N_5O_2S_2$ [M+H] 424.5, found [M+H] 424.3.