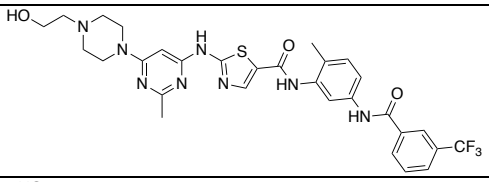
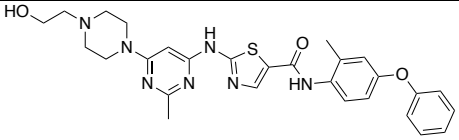
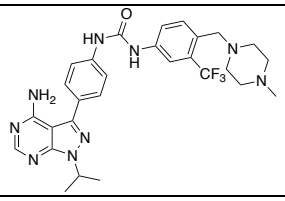
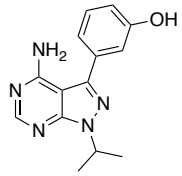
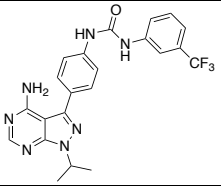
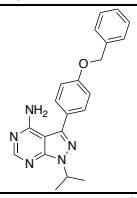
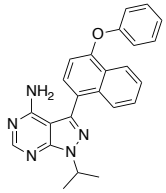
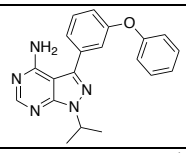
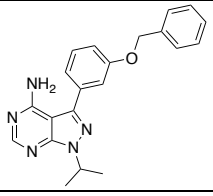
Electronic Supplementary Material (ESI) for ChemComm.

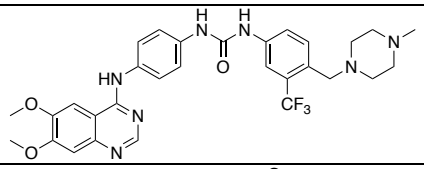
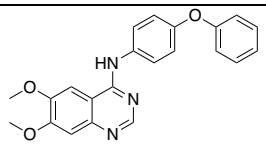
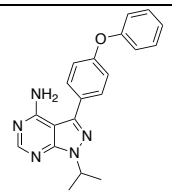
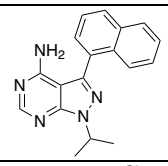
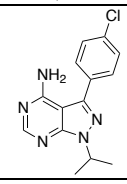
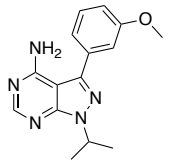
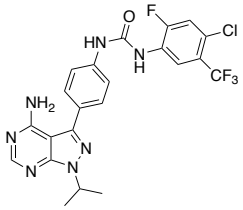
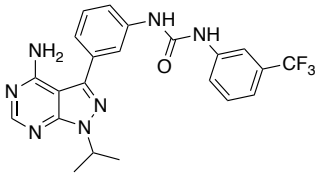
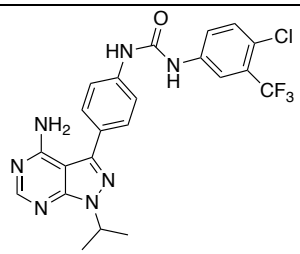
Conformation-tunable ATP-competitive kinase inhibitors

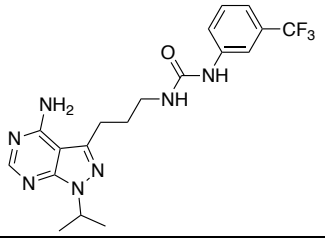
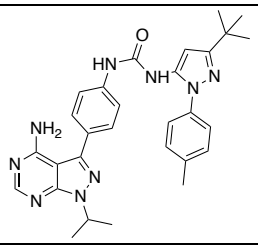
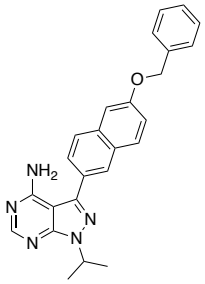
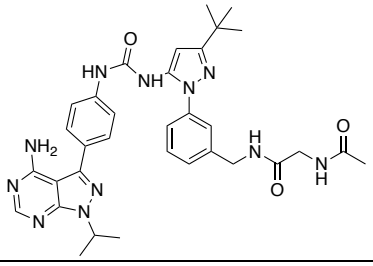
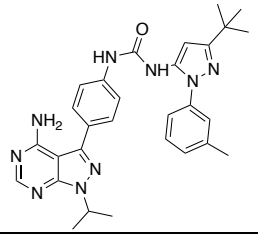
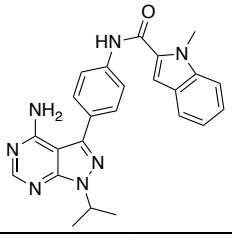
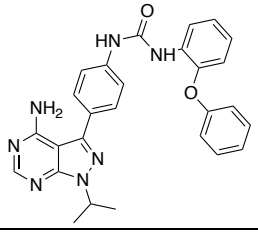
Michael P. Agius, Kristin Ko, Taylor K. Johnson, Sameer Phadke, Matthew B. Soellner

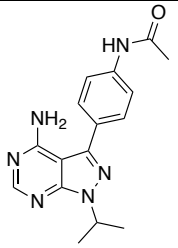
Supporting Information

I. Compound table

Compound	Structure	Reference (or this paper)
1		*ACS Chem. Biol.,* 2019, **14**, 1556–1563.
2		*ACS Chem. Biol.,* 2019, **14**, 1556–1563.
3		This paper
4		*Nat. Chem. Biol.*, 2008, **4**, 691–699.
5		*Chem. Biol.*, 2008, **15**, 1015–1022.
6		This paper
7		This paper
8		This paper
9		This paper

10		*Bioorg. Med. Chem. Lett.*, 2016, **24**, 179–190.
11		*Biochem.*, 2001, **40**, 7084–7091.
12		This paper
S13		*ACS Med. Chem. Lett.*, 2010, **1**, 331–335.
S14		*ACS Med. Chem. Lett.*, 2010, **1**, 331–335.
S15		*Nat. Chem. Biol.*, 2008, **4**, 691–699.
S16		This paper
S17		PCT Int. Appl. 2010, WO 20100045542.
S18		*Nature*, 2012, **486**, 80–84.

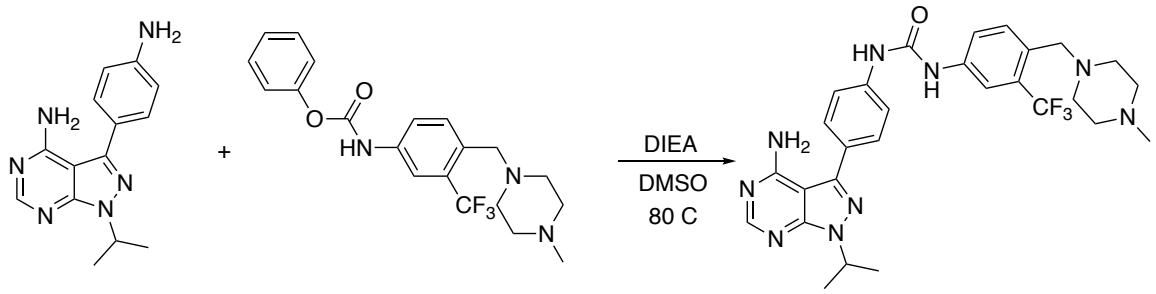
S19		This paper
S20		This paper
S21		*J. Med. Chem.*, 2012, **55**, 2416–2426.
S22		This paper
S23		This paper
S24		This paper
S25		This paper

S26		This paper

II. General Synthetic Methods

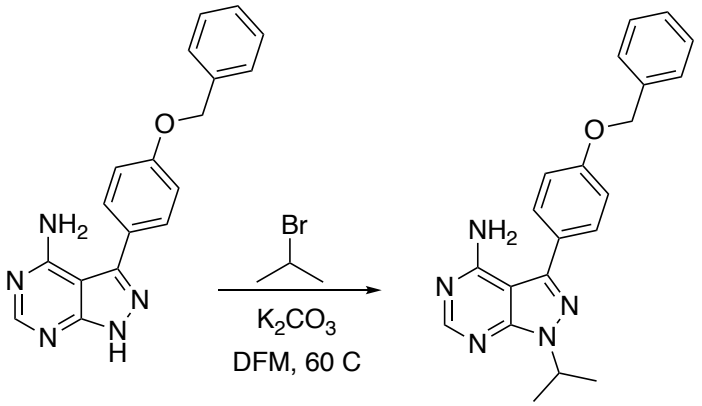
Unless otherwise noted, all reagents were obtained via commercial sources and used without further purification. ^{1}H NMR and ^{13}C NMR spectra were measured with a Varian MR400 or Inova 500 spectometer.

III. *Synthetic protocols for novel compounds*



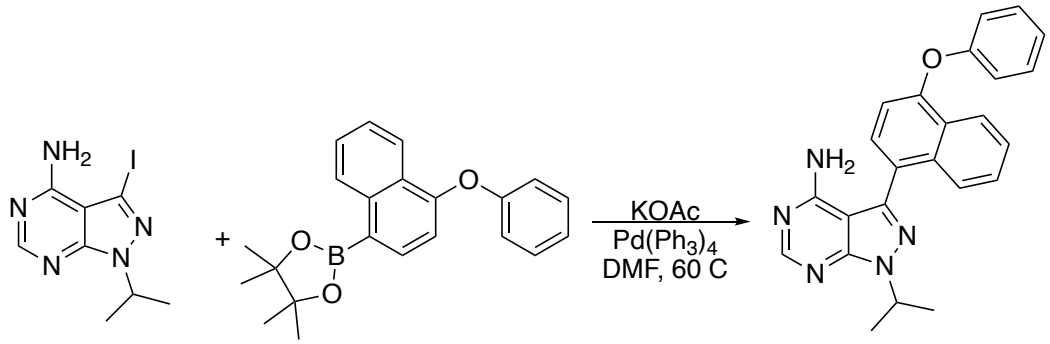
Scheme S1. Synthesis of Compound **3**

1-(4-(4-amino-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl)phenyl)-3-(4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)phenyl)urea (**3**). In a 5 mL round bottom flask, 3-(4-aminophenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (25 mg, 0.09 mmol) and phenyl (4-((4-methylpiperazin-1-yl)methyl)-3-(trifluoromethyl)phenyl)carbamate (35 mg, 0.09 mmol) was dissolved in 2 mL of DMSO. DIEA (31 μL, 0.18) mmol) was added and the reaction was stirred at 80 C for 2 hours. The reaction was cooled to room temperature and immediately purified by reverse phase HPLC using a linear 30% - 90% acetonitrile in H_2O gradient to afford **3** as a white solid (10 mg, 0.02 mmol). Yield = 20%. ^{1}H NMR (500 MHz, DMSO-*d*6) δ 9.33 (s, 1H), 9.26 (s, 1H), 8.22 (s, 1H), 8.03 – 7.97 (m, 1H), 7.65 (d, *J* = 8.6 Hz, 2H), 7.63 – 7.56 (m, 4H), 5.05 (p, *J* = 6.7 Hz, 1H), 3.53 (s, 2H), 2.36 (s, 8H), 2.15 (s, 3H), 1.49 (d, *J* = 6.7 Hz, 6H).^{13}C NMR (126 MHz, DMSO) δ 159.81, 159.53, 159.26, 158.99, 154.45, 153.02, 151.71, 149.77, 145.88, 141.13, 139.97, 132.25, 129.34, 125.59, 123.63, 121.97, 119.13, 115.58, 97.11, 56.88, 53.03, 49.71, 49.41, 42.53, 22.16. HRMS-ESI (m/z): [M + H]+ calcd for $C_{28}H_{33}F_3N_9O$, 568.2755; found 567.2750.



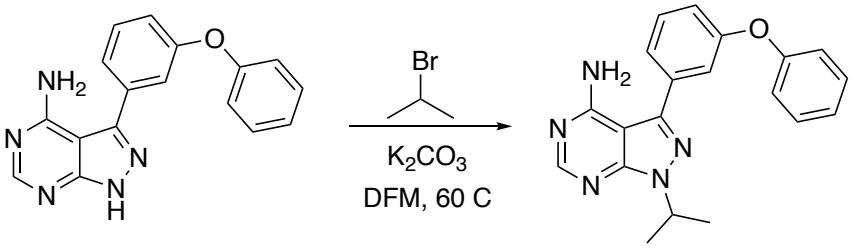
Scheme S2. Synthesis of Compound **6**

3-(4-(benzyloxy)phenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (**6**). To a 10 mL round bottom flask, compound 3-(4-(benzyloxy)phenyl)-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (9.5 mg, 0.03 mmol) and potassium carbonate (12 mg, 0.09 mmol) was dissolved in 5 mL of dry DMF. 2-bromopropane (3 μL, 0.04 mmol) was added and the reaction was stirred at 60 C for 6 h. The reaction was cooled to room temperature and immediately purified using reverse phase HPLC using a linear 30% - 90% acetonitrile in H_2O to afford **6** as a white solid (7.6 mg, 0.02 mmol). Yield = 71%. ^{1}H NMR (500 MHz, DMSO-*d*6): δ 8.22 (s, 1H), 7.59 (d, J = 8.7 Hz, 2H), 7.49 (d, J = 7.2 Hz, 2H), 7.41 (t, J = 7.6 Hz, 2H), 7.35 (t, J = 7.3 Hz, 1H), 7.28 (d, J = 8.7 Hz, 2H), 5.18 (s, 2H), 5.04 (p, J = 6.7 Hz, 1H), 1.47 (d, J = 6.7 Hz, 6H). ^{13}C NMR (500 MHz, DMSO-*d*6): δ 159.06, 158.56, 155.81, 153.64, 143.44, 137.34, 130.03, 128.92, 128.35, 128.20, 126.17, 115.82, 97.84, 69.78, 48.44, 22.24. HRMS-ESI (m/z): [M + H]+ calcd for $C_{21}H_{22}N_5O$, 360.1819; found 360.1831.



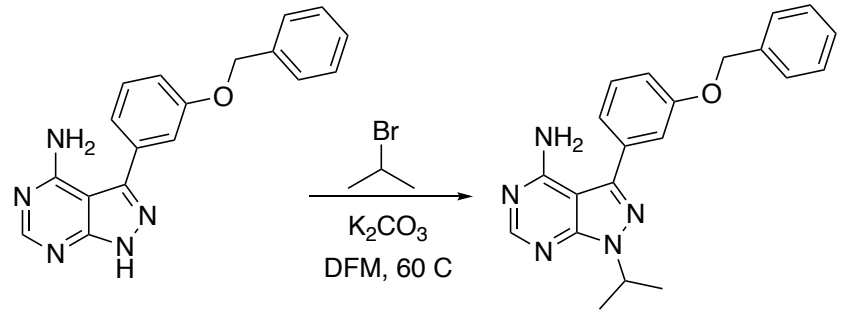
Scheme S3. Synthesis of Compound **7**

1-isopropyl-3-(4-phenoxynaphthalen-1-yl)-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (**7**). In a 5 mL round bottom flack, 3-iodo-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (10 mg, 0.03 mmol), 4,4,5,5-tetramethyl-2-(4-phenoxynaphthalen-1-yl)-1,3,2-dioxaborolane (10 mg, 0.03 mmol), potassium acetate (9 mg, 0.09 mmol), and palladium tetrakis (4 mg, 0.003 mmol) was dissolved in 5 mL of dry DMF. The reaction was heated to 60 C, stirred for 16, cooled to room temperature, and immediately purified by reverse phase HPLC using a linear 30% - 90% ACN in H_2O gradient to afford **7** as a white solid (2 mg, 0.005 mmol), yield = 18%. ^{1}H NMR (500 MHz, DMSO-*d*6): ^{13}C NMR (500 MHz, DMSO-*d*6): δ 158.30, 156.04, 153.38, 153.33, 141.70, 133.45, 130.57, 129.12, 127.92, 126.97, 126.83, 126.22, 126.16, 124.06, 122.27, 118.98, 113.57, 48.62, 42.55, 40.88, 22.33. HRMS-ESI (m/z): [M + H]+ calcd for $C_{24}H_{22}N_5O$, 396.1819; found 396.1810.



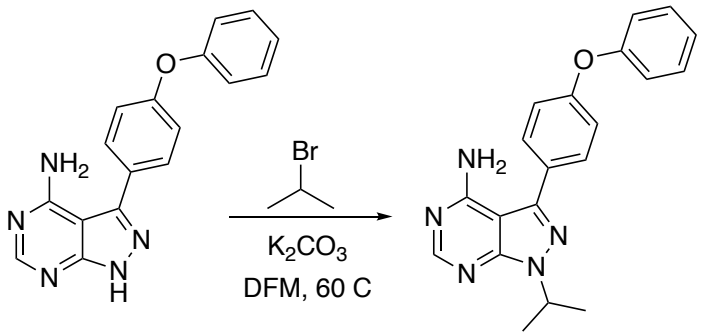
Scheme S4. Synthesis of Compound **8**

1-isopropyl-3-(3-phenoxyphenyl)-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (**8**). To a 10 mL round bottom flask, compound 3-(3-phenoxyphenyl)-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (10 mg, 0.03 mmol) and potassium carbonate (12 mg, 0.09 mmol) was dissolved in 5 mL of dry DMF. 2-bromopropane (3 µL, 0.04 mmol) was added and the reaction was stirred at 60 C for 6 h. The reaction was cooled to room temperature and immediately purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **8** as a white solid (4.7 mg, 0.013 mmol). Yield = 45%. ^{1}H NMR (500 MHz, Chloroform-*d*) δ 8.37 (s, 1H), 7.49 (t, *J* = 7.8 Hz, 1H), 7.44 (d, *J* = 7.6 Hz, 1H), 7.38 (t, *J* = 7.9 Hz, 2H), 7.34 (s, 1H), 7.16 (t, *J* = 7.4 Hz, 1H), 7.10 (t, *J* = 8.4 Hz, 3H), 5.58 (s, 2H), 5.19 (p, *J* = 6.7 Hz, 1H), 1.60 (d, *J* = 6.7 Hz, 6H). HRMS-ESI (m/z): [M + H]+ calcd for $C_{20}H_{20}N_5O$, 346.1662; found 346.1674.



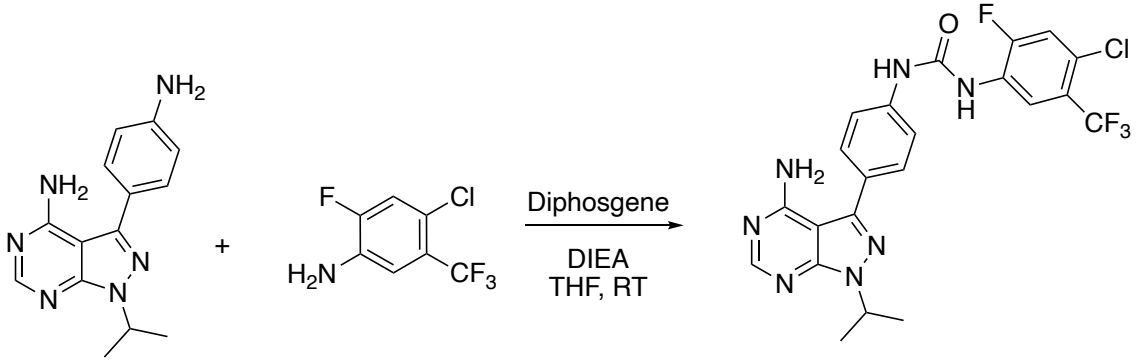
Scheme S5. Synthesis of Compound **9**

3-(3-(benzyloxy)phenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (**9**). To a 10 mL round bottom flask, compound 3-(3-(benzyloxy)phenyl)-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (9.5 mg, 0.03 mmol) and potassium carbonate (12 mg, 0.09 mmol) was dissolved in 5 mL of dry DMF. 2-bromopropane (3 µL, 0.04 mmol) was added and the reaction was stirred at 60 C for 6 h. The reaction was cooled to room temperature and immediately purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **9** as a white solid (5.3 mg, 0.015 mmol). Yield = 50%. ^{1}H NMR (500 MHz, Chloroform-*d*) δ 8.37 (s, 1H), 7.46 (t, *J* = 6.4 Hz, 3H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.36 (t, *J* = 6.9 Hz, 1H), 7.32 – 7.28 (m, 2H), 7.11 (dd, *J* = 8.3, 2.5 Hz, 1H), 5.42 (s, 2H), 5.24 – 5.15 (m, 3H), 1.62 (d, *J* = 6.7 Hz, 6H). HRMS-ESI (m/z): [M + H]+ calcd for $C_{22}H_{25}N_5O$, 375.2054; found 375.2049.



Scheme S6. Synthesis of Compound **12**

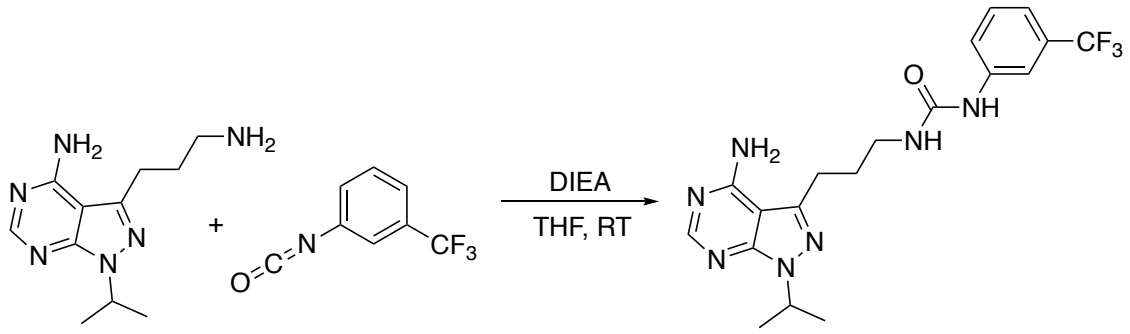
1-isopropyl-3-(4-phenoxyphenyl)-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (**12**). To a 10 mL round bottom flask, compound 3-(4-phenoxyphenyl)-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (10 mg, 0.03 mmol) and potassium carbonate (12 mg, 0.09 mmol) was dissolved in 5 mL of dry DMF. 2-bromopropane (3 µL, 0.04 mmol) was added and the reaction was stirred at 60 C for 6 h. The reaction was cooled to room temperature and immediately purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **12** as a white solid (7 mg, 0.02 mmol). Yield = 65%. ^{1}H NMR (500 MHz, DMSO-*d*6): δ 8.35 (s, 1H), 7.64 (d, J = 8.0 Hz, 2H), 7.36 (t, J = 7.7, 7.7 Hz, 2H), 7.14 (t, J = 8.5 Hz, 3H), 7.06 (d, J = 8.6 Hz, 2H), 5.58 (s, 3H), 5.16 (p, J = 6.7 Hz, 1H), 1.58 (d, J = 6.7 Hz, 6H). ^{13}C NMR (500 MHz, DMSO-*d*6): δ 162.35, 160.73, 159.59, 158.97. HRMS-ESI (m/z): [M + H]+ calcd for $C_{20}H_{20}N_5O$, 346.1662; found 346.1660.



Scheme S7. Synthesis of Compound **S16**

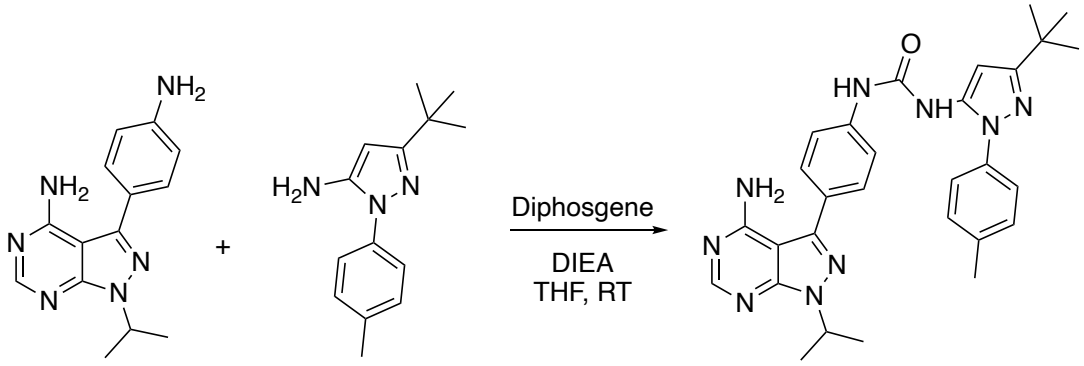
1-(4-(4-amino-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl)phenyl)-3-(4-chloro-2-fluoro-5-(trifluoromethyl)phenyl)urea (**S16**). To a 10 mL round bottom flask, 4-chloro-2-fluoro-5-(trifluoromethyl)aniline (19 mg, 0.09 mmol) was dissolved in 5 mL dry THF. Diphosgene (22 µL, 0.18 mmol) was added and the reaction was refluxed for 2 h, cooled to room temperature, and concentrated *in-vacuo*. The residue was resuspended in 5mL of dry THF in which 3-(4-aminophenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (25 mg, 0.09 mmol) and DIEA (31 µL, 0.18 mmol) was added and the reaction was allowed to stir at room temperature for 4 hours. The reaction was concentrated *in vacuo* and the residue was purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **S16** as a white solid (10.5 mg, 0.02 mmol). Yield = 23%. ^{1}H NMR (500 MHz, DMSO-*d*6): ^{13}C NMR (126 MHz, dmso) δ 158.55, 155.81, 154.47, 153.71, 152.46, 152.32, 143.44, 139.66, 129.39, 127.96, 127.86, 127.69, 119.42, 119.23, 119.08, 97.84, 48.50, 22.24. HRMS-ESI (m/z): [M + H]+ calcd for $C_{22}H_{19}ClF_4N_7O$, 508.1270;

found 508.1270.



Scheme S8. Synthesis of Compound **S19**

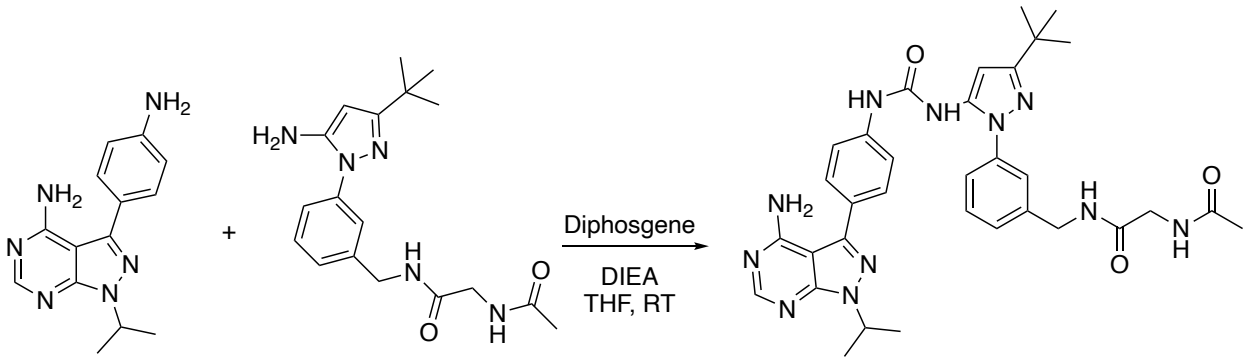
1-(3-(4-amino-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl)propyl)-3-(3-(trifluoromethyl)phenyl)urea (**S19**). To a 10 mL round bottom flask, 3-(3-aminopropyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (21 mg, 0.09 mmol) was dissolved in 5 mL dry THF. 1-isocyanato-3-(trifluoromethyl)benzene (13 µL, 0.09 mmol) and DIEA (31 µL, 0.18 mmol) was added and the reaction was stirred at room temperature for 4 h and concentrated *in vacuo*. The residue was purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **S19** as a white solid (15 mg, 0.04 mmol). Yield = 67%. ^{1}H NMR (500 MHz, dmso) δ 8.82 (s, 1H), 8.13 (d, *J* = 2.0 Hz, 1H), 7.94 (s, 1H), 7.48 (d, *J* = 8.3 Hz, 1H), 7.42 (t, *J* = 7.9, 7.9 Hz, 1H), 7.19 (d, *J* = 7.7 Hz, 1H), 6.35 (t, 1H), 4.91 (p, *J* = 12.5, 7.1, 7.1 Hz, 1H), 3.15 (q, *J* = 6.8, 6.8, 6.8 Hz, 2H), 3.00 – 2.94 (m, 2H), 1.78 (t, *J* = 7.6, 7.6 Hz, 2H), 1.39 (d, *J* = 6.7 Hz, 6H). HRMS-ESI (m/z): [M + H]+ calcd for $C_{19}H_{23}F_3N_7O$, 422.1911; found 422.1910.



Scheme S9. Synthesis of Compound **S20**

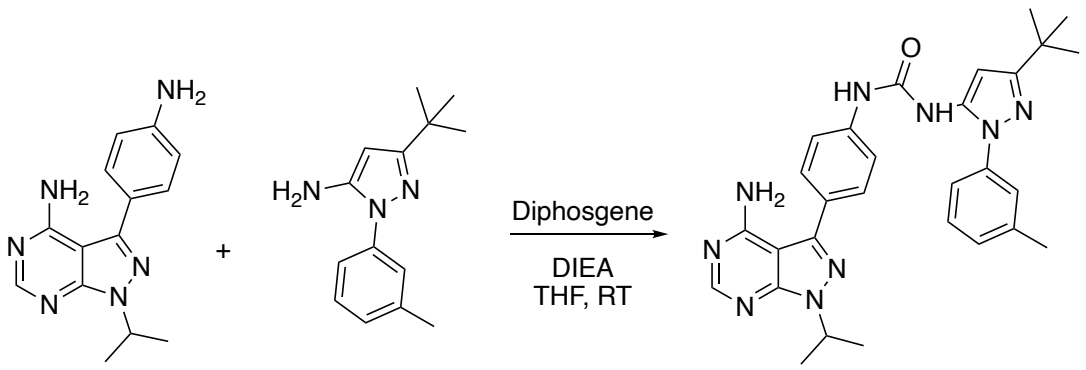
1-(4-(4-amino-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl)phenyl)-3-(3-(*tert*-butyl)-1-(*p*-tolyl)-1*H*-pyrazol-5-yl)urea (**S20**). To a 10 mL round bottom flask, 3-(*tert*-butyl)-1-(*p*-tolyl)-1*H*-pyrazol-5-amine (21 mg, 0.09 mmol) was dissolved in 5 mL dry THF. Diphosgene (22 µL, 0.18 mmol) was added and the reaction was refluxed for 2 h, cooled to room temperature, and concentrated *in vacuo*. The residue was resuspended in 5mL of dry THF in which 3-(4-aminophenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (25 mg, 0.09 mmol) and DIEA (31 µL, 0.18 mmol) was added and the reaction was allowed to stir at room temperature for 4 hours. The reaction was concentrated *in vacuo* and the residue was purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **S20** as a white solid (15 mg, 0.03 mmol).

Yield = 32%. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.32 (s, 1H), 7.61 (d, *J* = 8.4 Hz, 2H), 7.48 (d, *J* = 8.5 Hz, 2H), 7.30 (d, *J* = 7.4 Hz, 2H), 7.19 – 7.07 (m, 2H), 6.57 (s, 1H), 6.38 (s, 1H), 5.44 (s, 2H), 5.15 (p, *J* = 13.4, 6.7 Hz, 1H), 2.37 (s, 3H), 1.57 (d, *J* = 6.8 Hz, 6H), 1.36 (s, 9H). HRMS-ESI (m/z): [M + H]+ calcd for $C_{29}H_{34}N_9O$, 524.2881; found 524.5888.



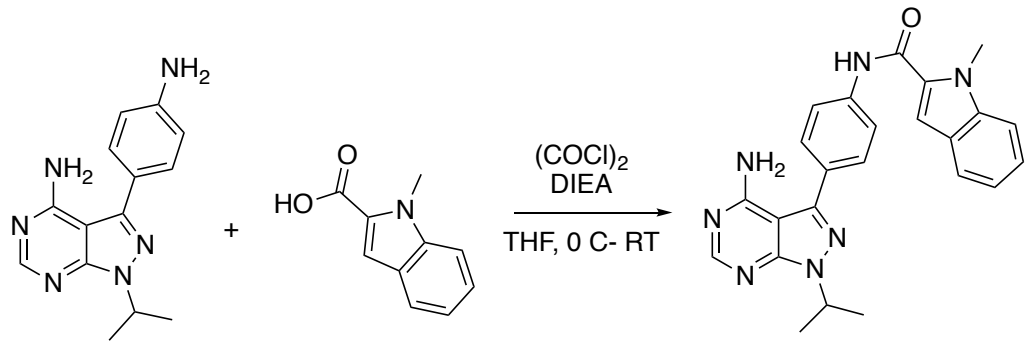
Scheme S10. Synthesis of Compound **S22**

2-acetamido-*N*-(3-(5-(3-(4-(4-amino-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl)phenyl)ureido)-3-(*tert*-butyl)-1*H*-pyrazol-1-yl)benzyl)acetamide (**S22**). To a 10 mL round bottom flask, 2-acetamido-*N*-(3-(5-amino-3-(*tert*-butyl)-1*H*-pyrazol-1-yl)benzyl)acetamide (31 mg, 0.09 mmol) was dissolved in 5 mL dry THF. Diphosgene (22 µL, 0.18 mmol) was added and the reaction was refluxed for 2 h, cooled to room temperature, and concentrated *in vacuo*. The residue was resuspended in 5mL of dry THF in which 3-(4-aminophenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (25 mg, 0.09 mmol) and DIEA (31 µL, 0.18 mmol) was added and the reaction was allowed to stir at room temperature for 4 hours. The reaction was concentrated *in vacuo* and the residue was purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **S22** as a white solid (6 mg, 0.01 mmol). Yield = 11%. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.68 (s, 1H), 8.31 (s, 1H), 7.69 (s, 1H), 7.55 (d, *J* = 8.3 Hz, 2H), 7.49 (d, *J* = 8.5 Hz, 2H), 7.43 – 7.30 (m, 3H), 7.26 (d, *J* = 6.8 Hz, 1H), 7.14 (d, *J* = 7.4 Hz, 1H), 6.74 (t, *J* = 5.6 Hz, 1H), 6.51 (s, 1H), 5.55 (s, 2H), 5.14 (p, *J* = 6.8 Hz, 1H), 4.36 (d, *J* = 6.0 Hz, 2H), 3.74 (d, *J* = 5.8 Hz, 2H), 1.96 (s, 3H), 1.56 (d, *J* = 6.7 Hz, 6H), 1.33 (s, 9H). ^{13}C NMR (126 MHz, dmso) δ 170.08, 169.50, 161.16, 158.46, 155.54, 153.43, 149.67, 147.41, 140.82, 129.50, 129.28, 124.99, 122.01, 121.47, 120.61, 114.51, 87.18, 48.27, 42.59, 42.38, 40.87, 30.65, 22.97, 22.25. HRMS-ESI (m/z): [M + H]+ calcd for $C_{33}H_{40}N_{11}O_3$, 638.3310; found 638.3310.



Scheme S11. Synthesis of Compound **S23**

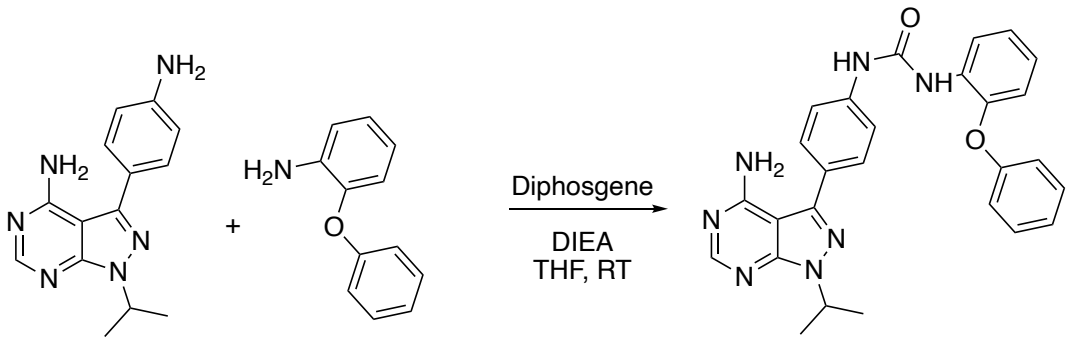
1-(4-(4-amino-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl)phenyl)-3-(3-(*tert*-butyl)-1-(*m*-tolyl)-1*H*-pyrazol-5-yl)urea (**S23**). To a 10 mL round bottom flask, 3-(*tert*-butyl)-1-(*m*-tolyl)-1*H*-pyrazol-5-amine (21 mg, 0.09 mmol) was dissolved in 5 mL dry THF. Diphosgene (22 µL, 0.18 mmol) was added and the reaction was refluxed for 2 h, cooled to room temperature, and concentrated *in vacuo*. The residue was resuspended in 5mL of dry THF in which 3-(4-aminophenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (25 mg, 0.09 mmol) and DIEA (31 µL, 0.18 mmol) was added and the reaction was allowed to stir at room temperature for 4 hours. The reaction was concentrated *in vacuo* and the residue was purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **S23** as a white solid (18 mg, 0.04 mmol). Yield = 40%. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.27 (s, 1H), 7.58 (d, *J* = 8.4 Hz, 2H), 7.51 (s, 1H), 7.45 (d, *J* = 8.4 Hz, 2H), 7.28 (d, *J* = 8.1 Hz, 2H), 7.15 (d, *J* = 8.1 Hz, 2H), 6.91 (s, 1H), 6.38 (s, 1H), 5.56 (s, 2H), 5.13 (p, *J* = 13.4, 6.7 Hz, 1H), 2.29 (s, 3H), 1.56 (d, *J* = 6.7 Hz, 6H), 1.32 (s, 9H). HRMS-ESI (m/z): [M + H]+ calcd for $C_{29}H_{34}N_9O$, 524.2881; found 524.5883.



Scheme S12. Synthesis of Compound **S24**

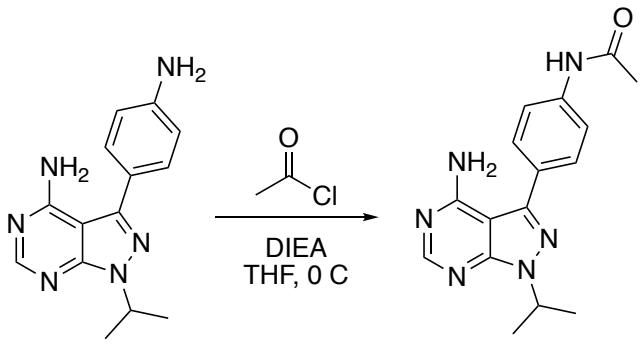
N-(4-(4-amino-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl)phenyl)-1-methyl-1*H*-indole-2-carboxamide (**S24**). To a 10 mL round bottom flask, 1-methyl-1*H*-indole-2-carboxylic acid (16 mg, 0.09 mmol) was dissolved in 5 mL dry THF. Oxalyl chloride (23 µL, 0.27 mmol) was added and the reaction was refluxed for 2 h, cooled to room temperature, and concentrated *in vacuo*. The residue was resuspended in 5mL of dry THF in which 3-(4-aminophenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (25 mg, 0.09 mmol) and DIEA (31 µL, 0.18 mmol) was added and the reaction was allowed to stir at room temperature for 4 hours. The reaction was concentrated

in vacuo and the residue was purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **S24** as a white solid (27 mg, 0.06 mmol). Yield = 70%. ^{1}H NMR (500 MHz, DMSO-*d*6) δ 10.52 (s, 1H), 8.24 (s, 1H), 7.99 (d, *J* = 8.7 Hz, 2H), 7.72 (d, *J* = 7.9 Hz, 1H), 7.66 (d, *J* = 8.7 Hz, 2H), 7.59 (d, *J* = 8.5 Hz, 1H), 7.37 (s, 1H), 7.33 (t, *J* = 8.2 Hz, 1H), 7.19 – 7.11 (m, 1H), 5.07 (p, *J* = 6.7 Hz, 1H), 4.04 (s, 3H), 1.50 (d, *J* = 6.7 Hz, 6H).^{13}C NMR (126 MHz, DMSO) δ 160.99, 158.49, 155.75, 153.68, 143.51, 139.85, 139.20, 132.34, 129.10, 128.63, 125.88, 124.49, 122.22, 120.79, 111.07, 106.27, 97.86, 48.53, 42.53, 31.92, 22.26. HRMS-ESI (m/z): [M + H]+ calcd for $C_{24}H_{24}N_7O$, 426.3027; found 426.3035.



Scheme S13. Synthesis of Compound **S25**

1-(4-(4-amino-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-3-yl)phenyl)-3-(2-phenoxyphenyl)urea (**S25**). To a 10 mL round bottom flask, 2-phenoxyaniline (17 mg, 0.09 mmol) was dissolved in 5 mL dry THF. Diphosgene (22 µL, 0.18 mmol) was added and the reaction was refluxed for 2 h, cooled to room temperature, and concentrated *in vacuo*. The residue was resuspended in 5mL of dry THF in which 3-(4-aminophenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (25 mg, 0.09 mmol) and DIEA (31 µL, 0.18 mmol) was added a3nd the reaction was allowed to stir at room temperature for 4 hours. The reaction was concentrated *in vacuo* and the residue was purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **S25** as a white solid (13 mg, 0.03 mmol). Yield = 30%. ^{1}H NMR (500 MHz, DMSO-*d*6): (500 MHz, DMSO-*d*6) δ 10.19 (s, 1H), 8.37 (s, 2H), 7.76 (d, *J* = 7.9 Hz, 2H), 7.57 (d, *J* = 7.7 Hz, 2H), 5.07 (p, *J* = 13.3, 6.5 Hz, 1H), 2.98 (s, 3H), 1.48 (d, *J* = 6.4 Hz, 6H). HRMS-ESI (m/z): [M + H]+ calcd for $C_{27}H_{26}N_7O_2$, 480.2142; found 480.2139.

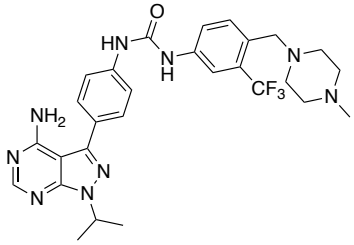


Scheme S14. Synthesis of Compound **S26**

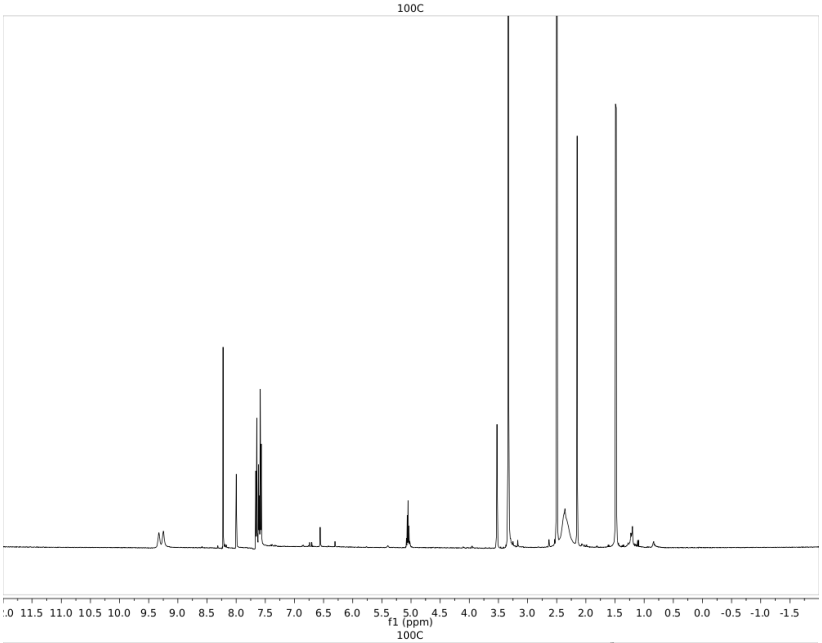
(**S26**). To a 10 mL round bottom flask, 3-(4-aminophenyl)-1-isopropyl-1*H*-pyrazolo[3,4-*d*]pyrimidin-4-amine (25 mg, 0.09 mmol) was dissolved in 5 mL dry THF. Acetyl Chloride (7 µL, 0.09 mmol) and DIEA (31 µL, 0.18 mmol) was added, the reaction was stirred at 0 C for 4 h, and concentrated *in vacuo*. The residue was purified using reverse phase HPLC using a linear 30% - 90% ACN in H_2O to afford **S26** as a white solid (22 mg, 0.07 mmol). Yield = 80%. ^{1}H NMR (500 MHz, DMSO-*d*6) δ 10.19 (s, 1H), 8.37 (s, 2H), 7.76 (d, *J* = 7.9 Hz, 2H), 7.57 (d, *J* = 7.7 Hz, 2H), 5.07 (p, *J* = 13.3, 6.5 Hz, 1H), 2.98 (s, 3H), 1.48 (d, *J* = 6.4 Hz, 6H) ^{13}C NMR (126 MHz, dmso) δ 169.03, 152.22, 145.18, 140.54, 129.67, 129.21, 126.99, 119.78, 97.31, 49.20, 42.55, 22.19. HRMS-ESI (m/z): [M + H]+ calcd for $C_{16}H_{19}N_6O$, 311.1615; found 311.1615.

IV. *Analytical data for novel compounds*

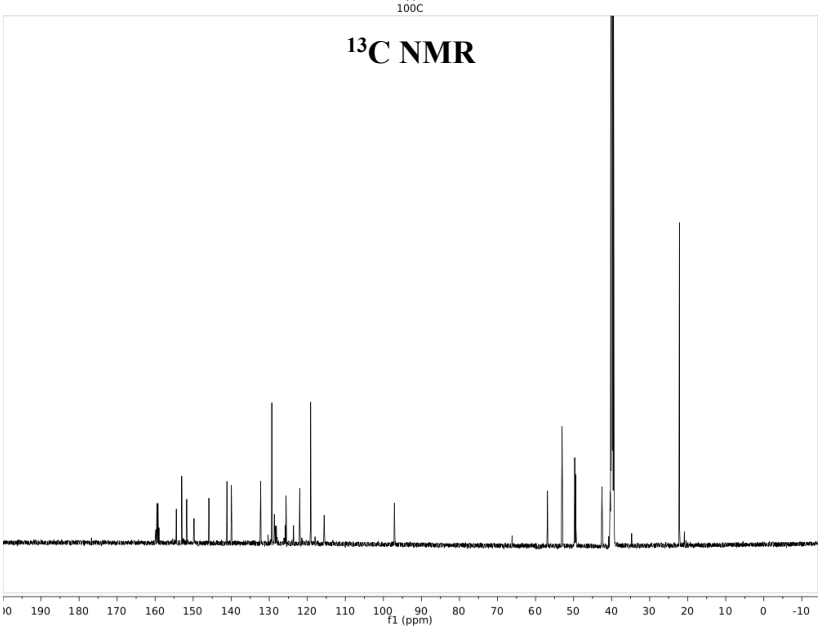
Compound 3:



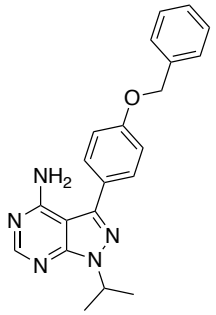
^{1}H NMR



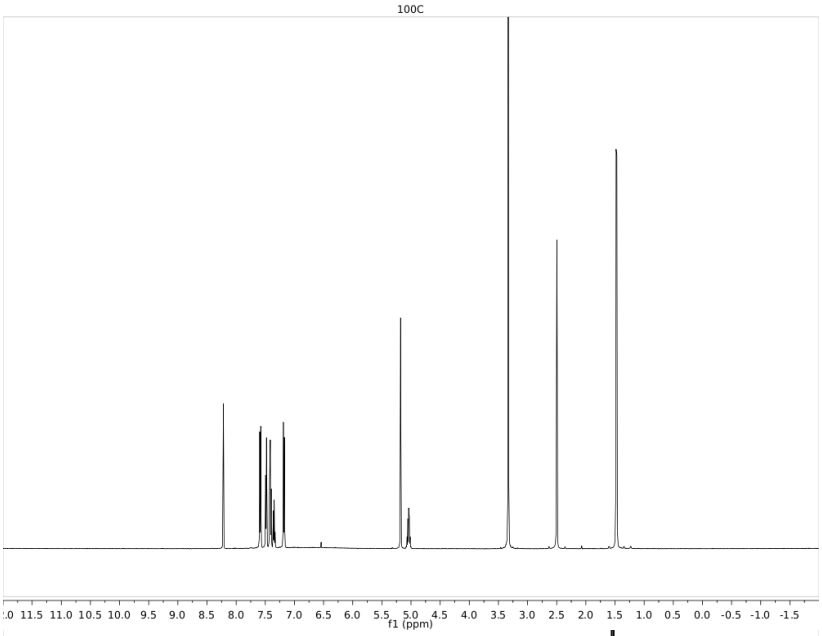
^{13}C NMR



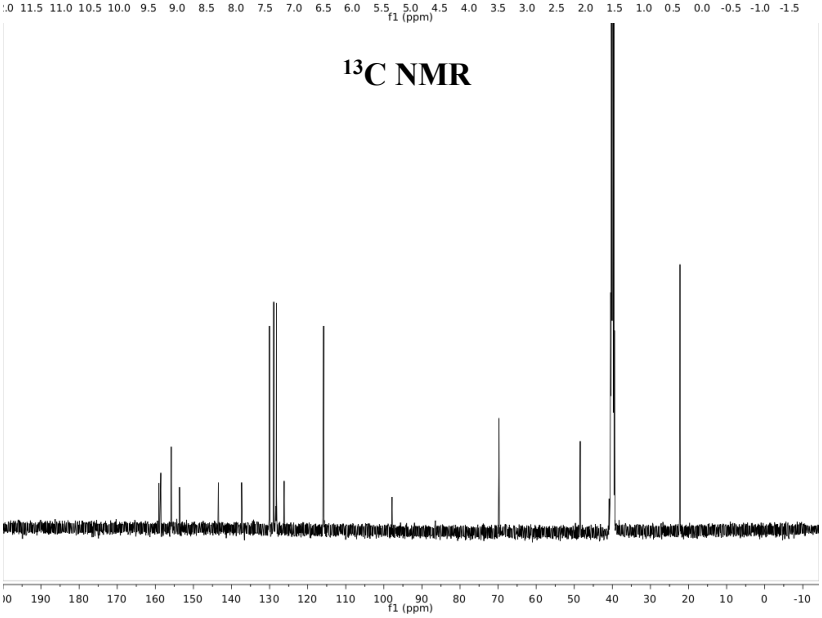
Compound 6:



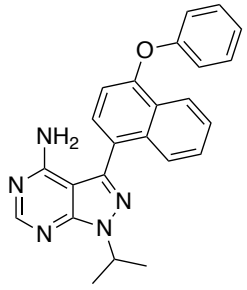
^{1}H NMR



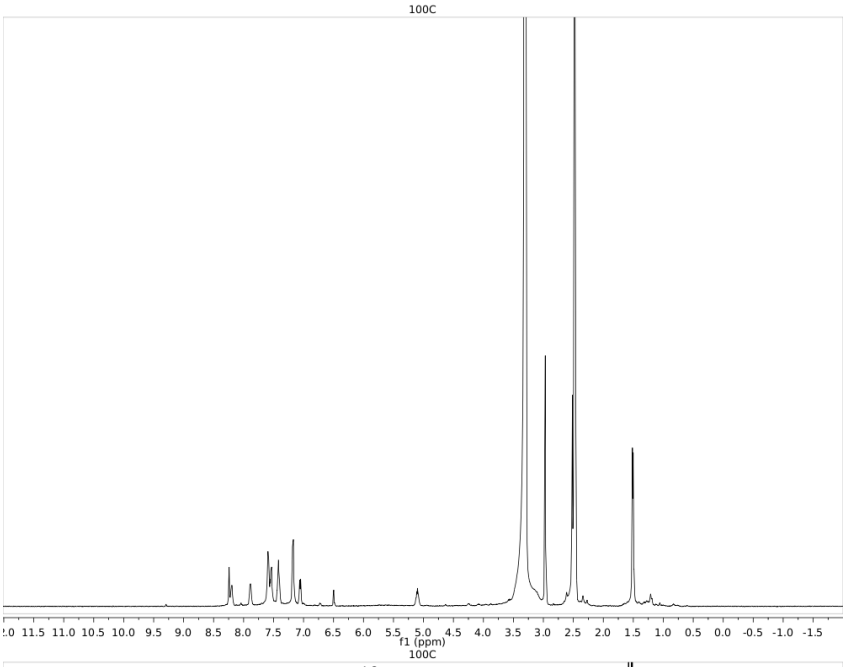
^{13}C NMR



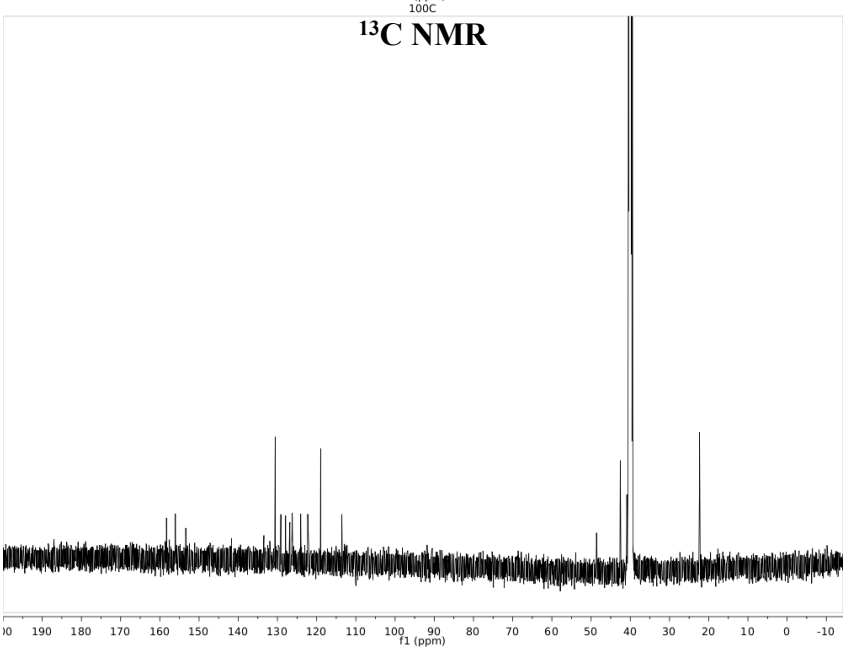
Compound 7:



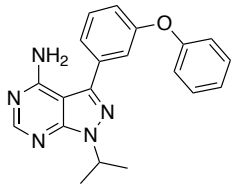
^{1}H NMR



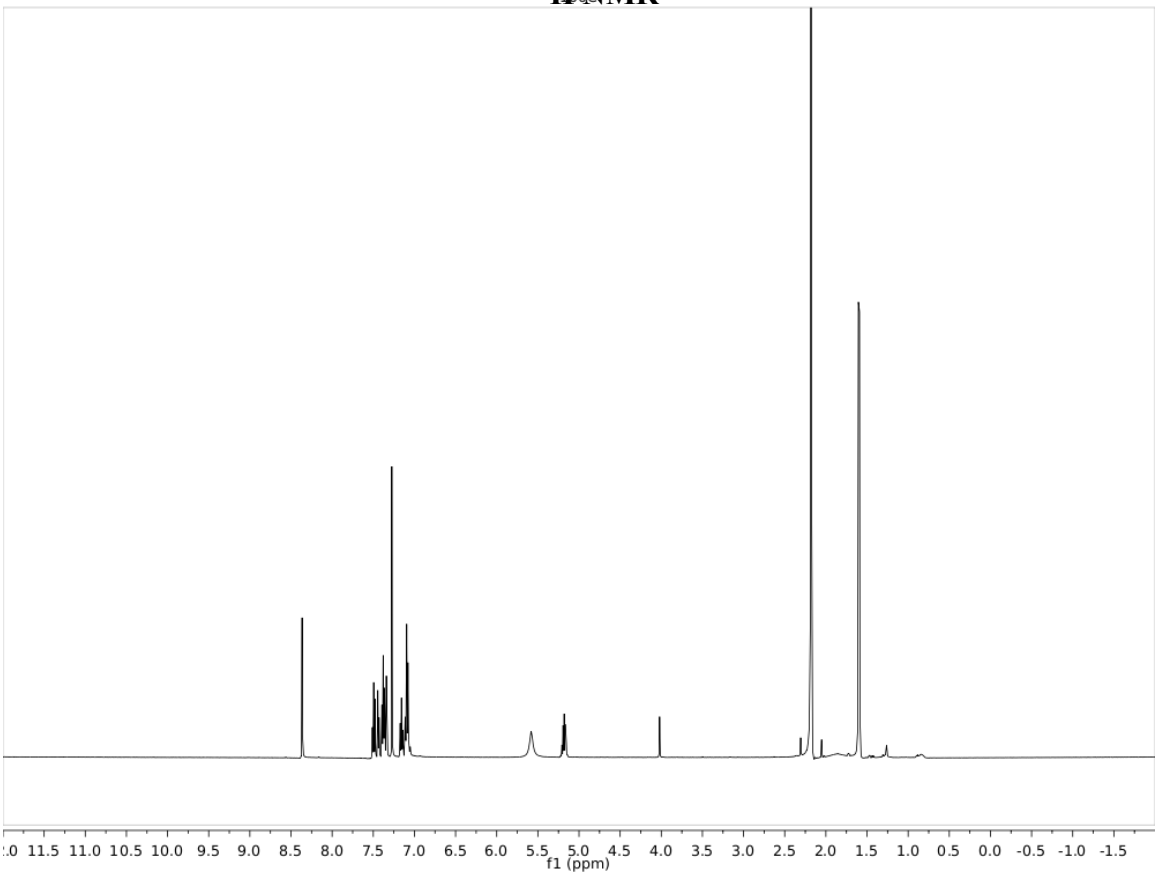
^{13}C NMR



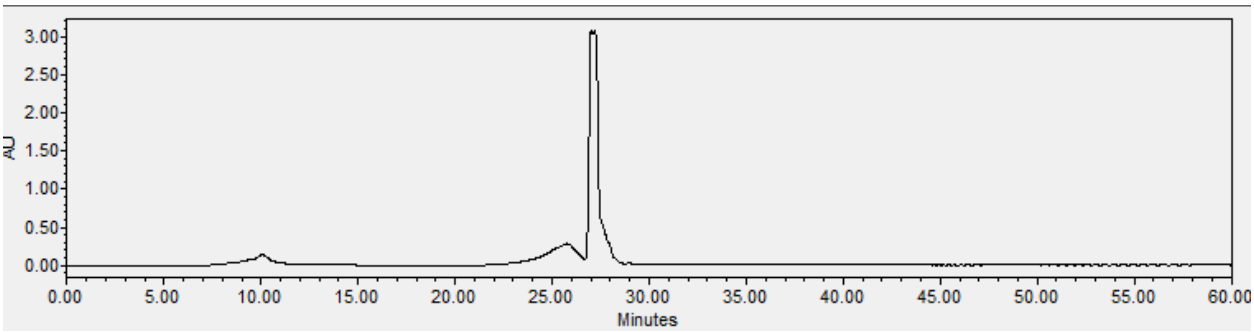
Compound 8:



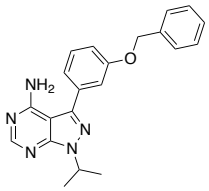
^{1}H NMR



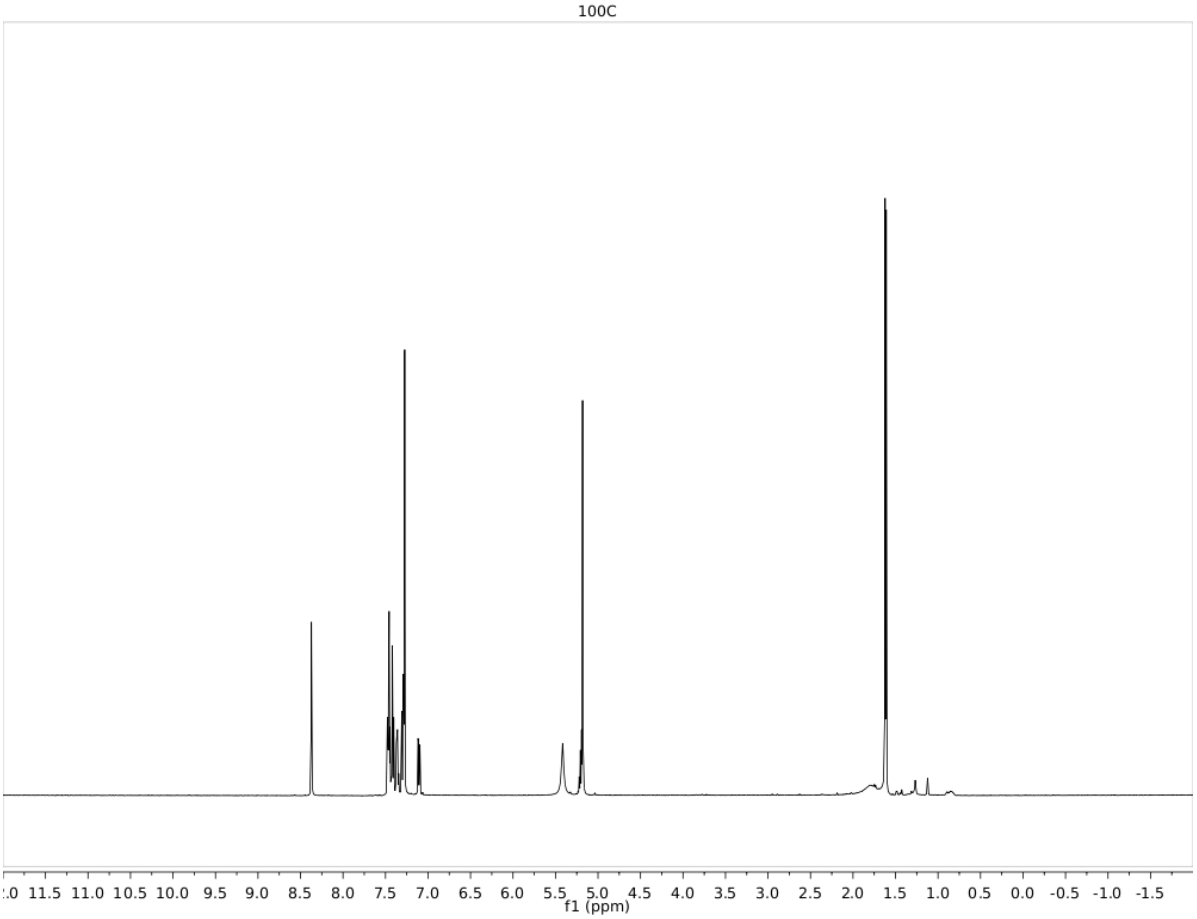
HPLC:



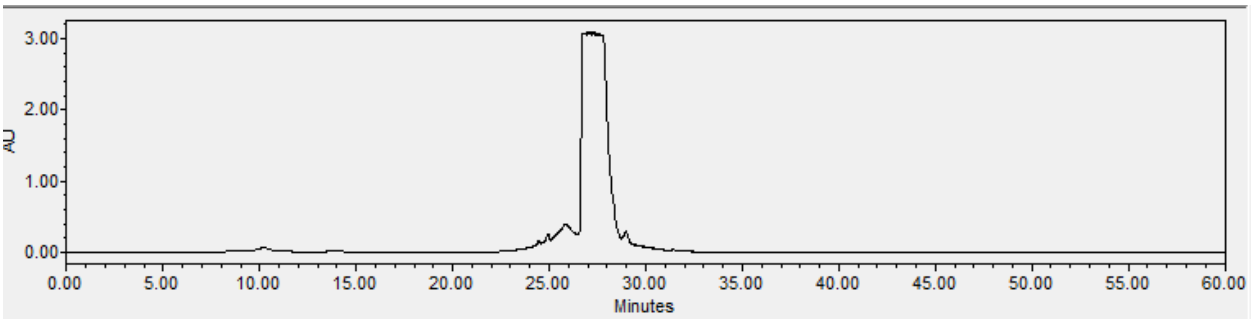
Compound 9:



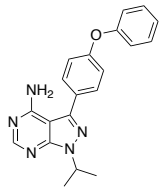
^{1}H NMR



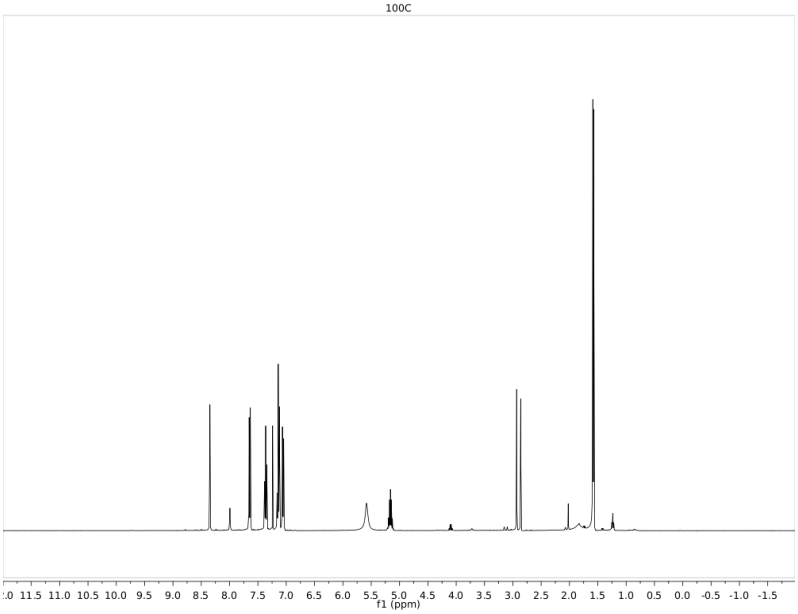
HPLC:



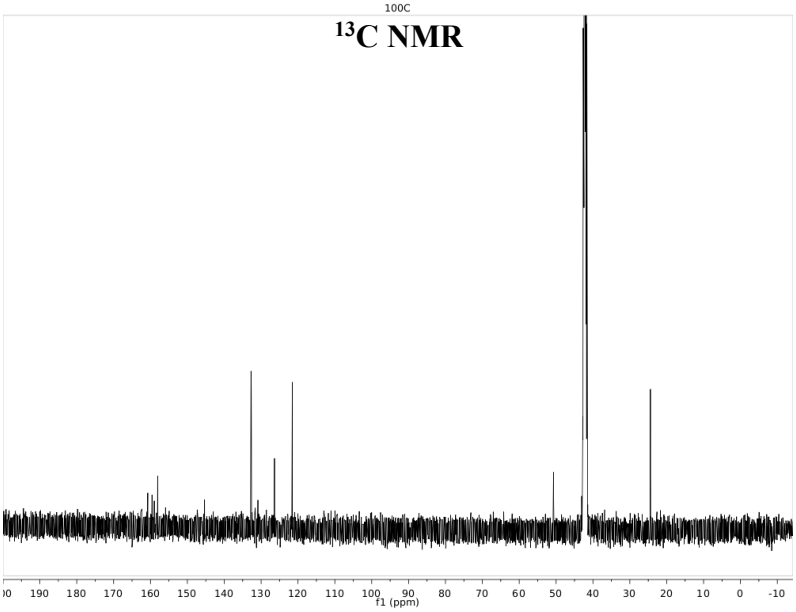
Compound 12:



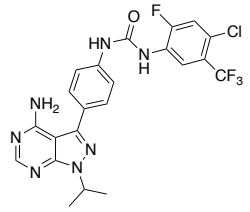
^{1}H NMR



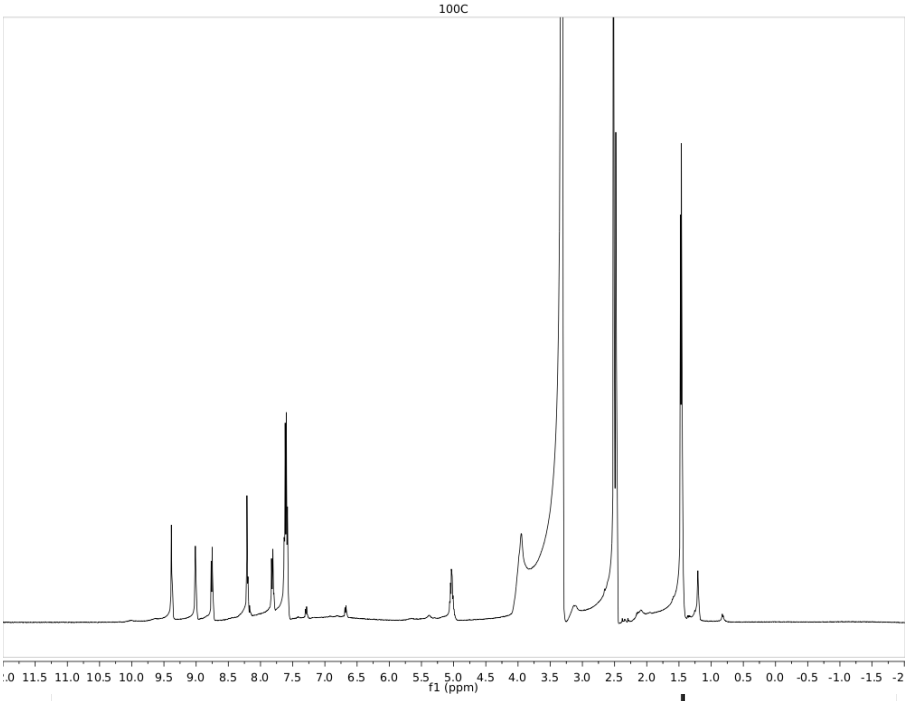
^{13}C NMR



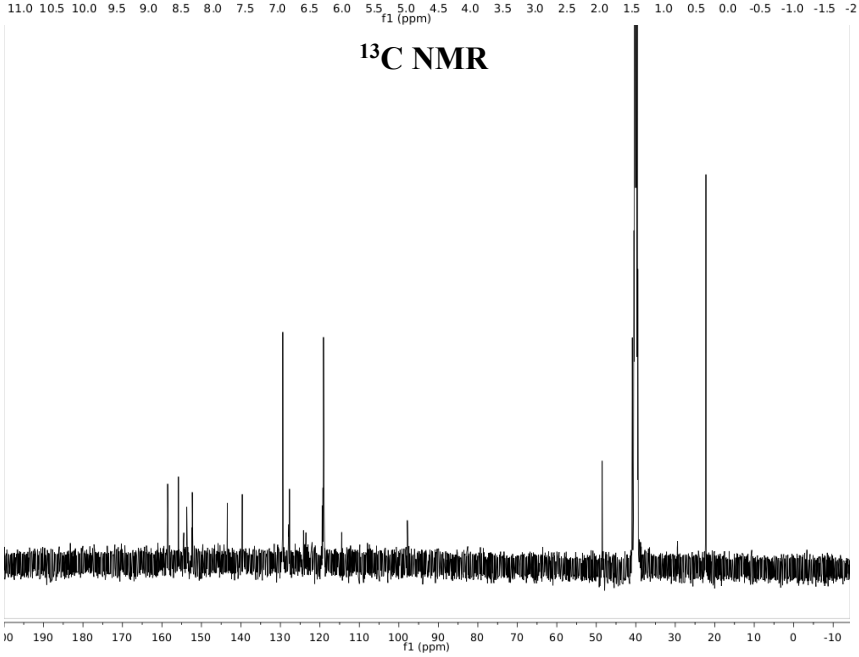
Compound S16:



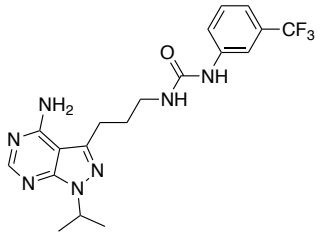
^{1}H NMR



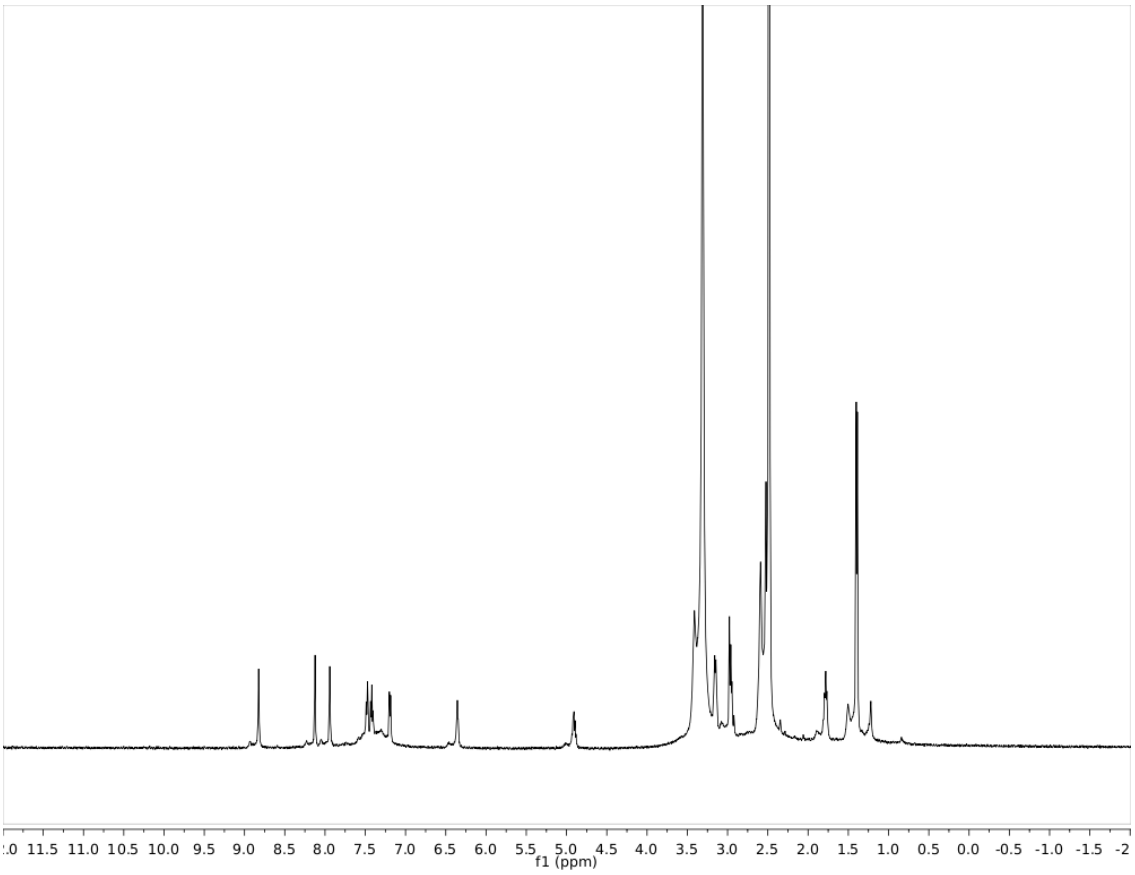
^{13}C NMR



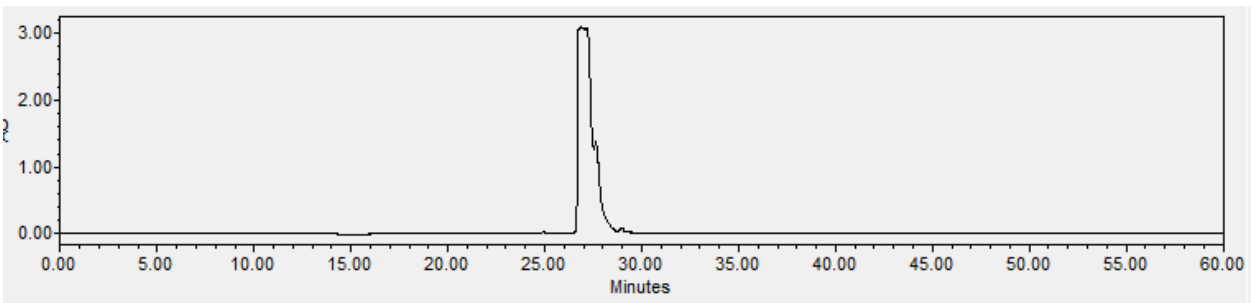
Compound S19:



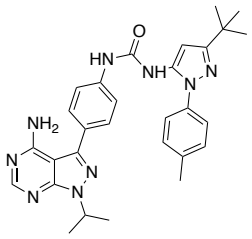
1H NMR:



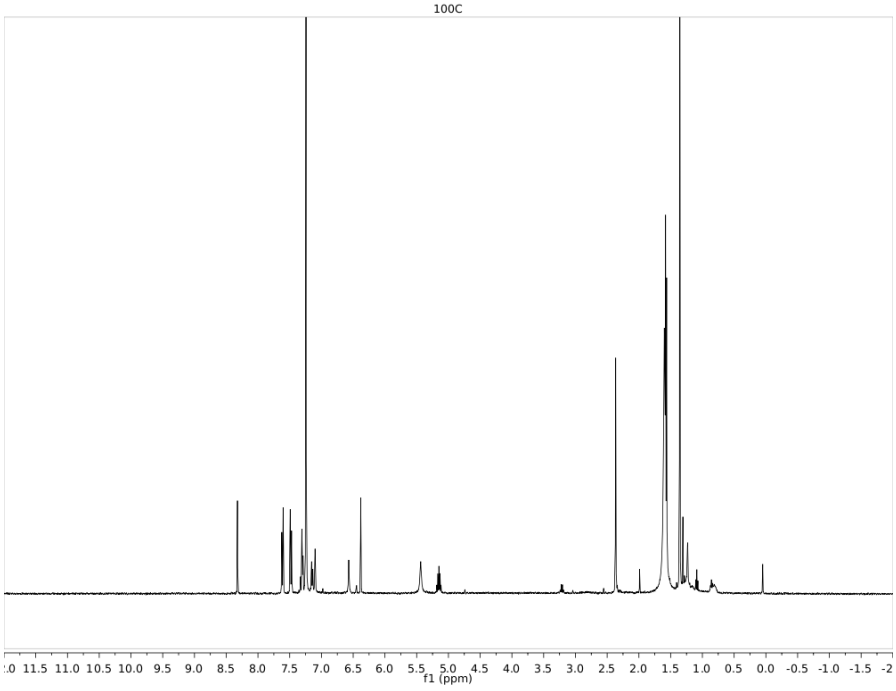
HPLC:



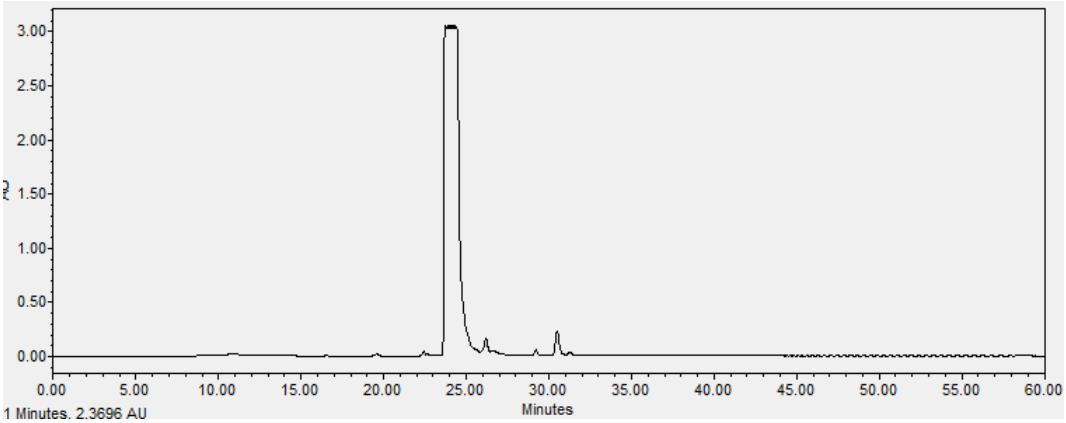
Compound S20:



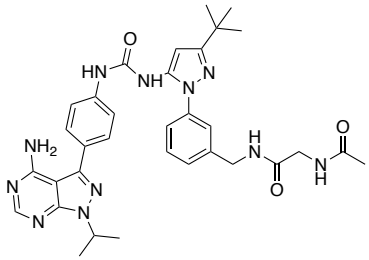
^{1}H NMR



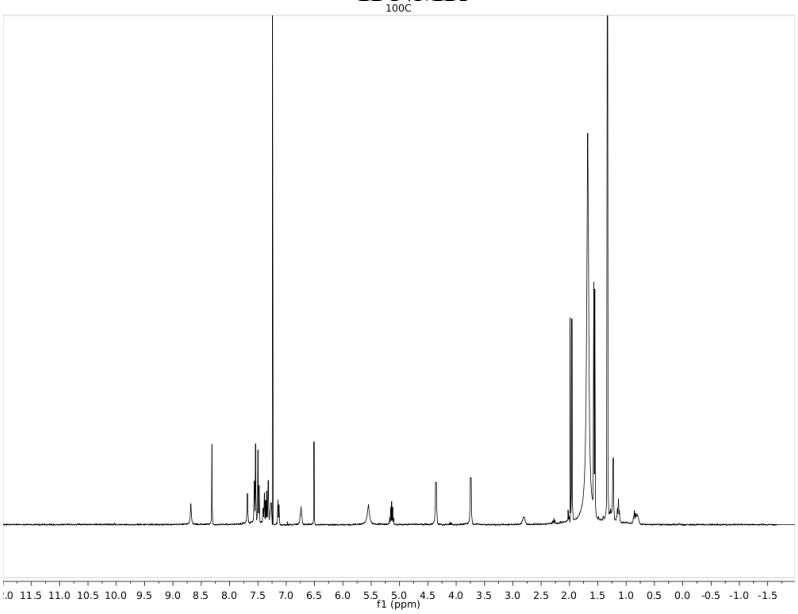
HPLC:



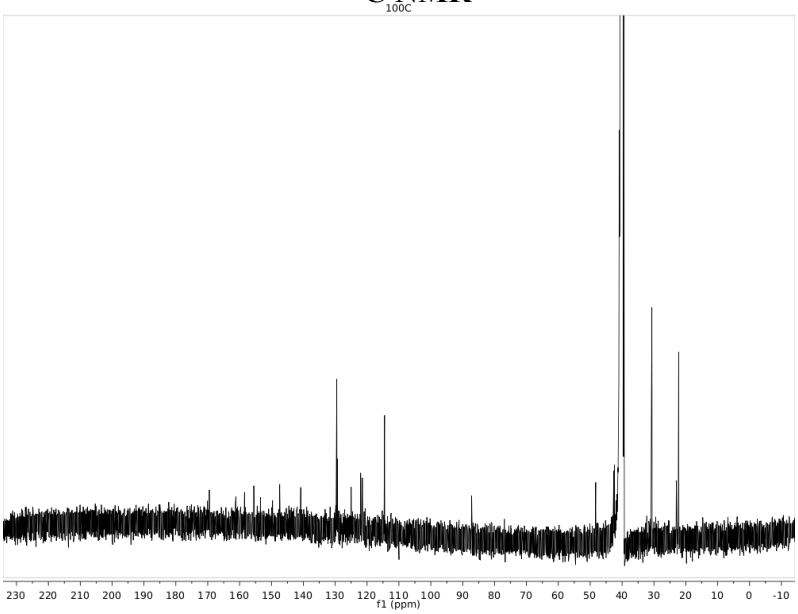
Compound S22:



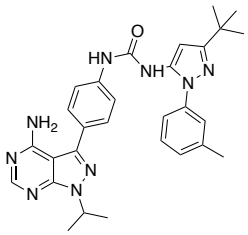
^{1}H NMR



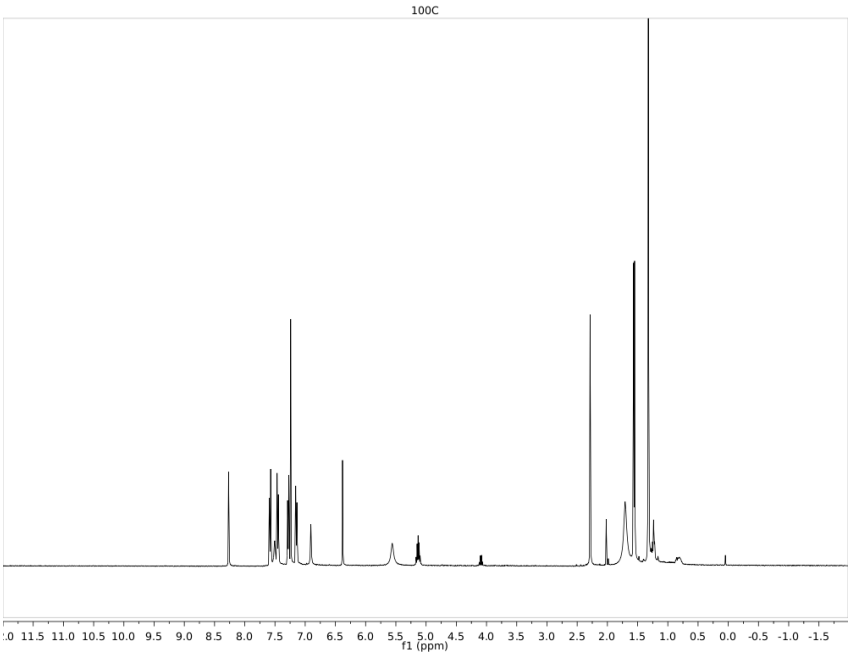
^{13}C NMR



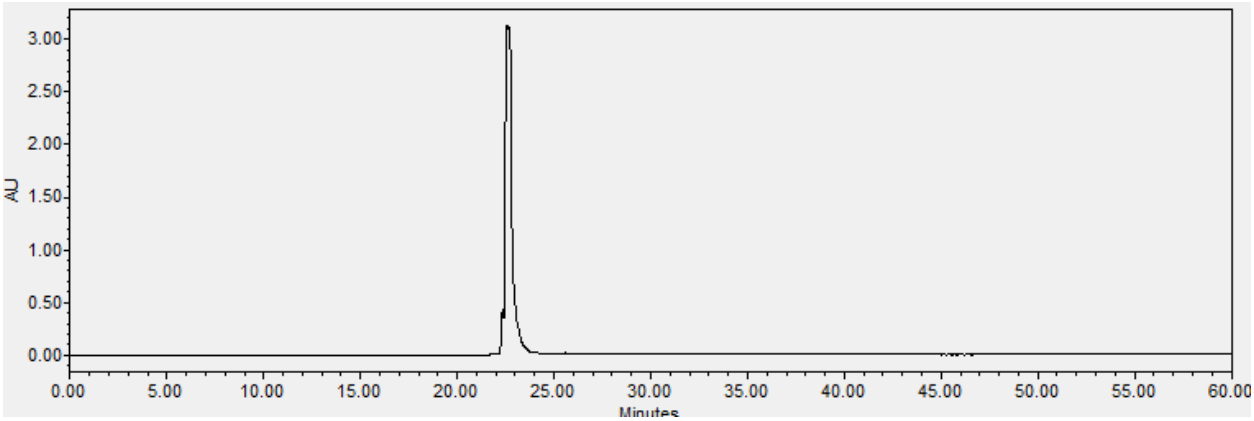
Compound S23:



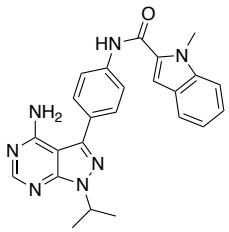
^{1}H NMR



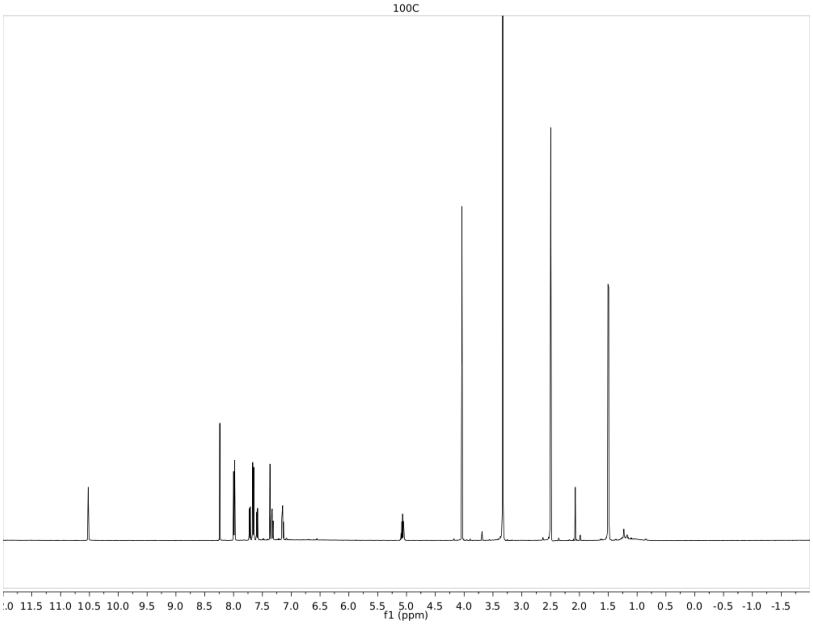
HPLC:



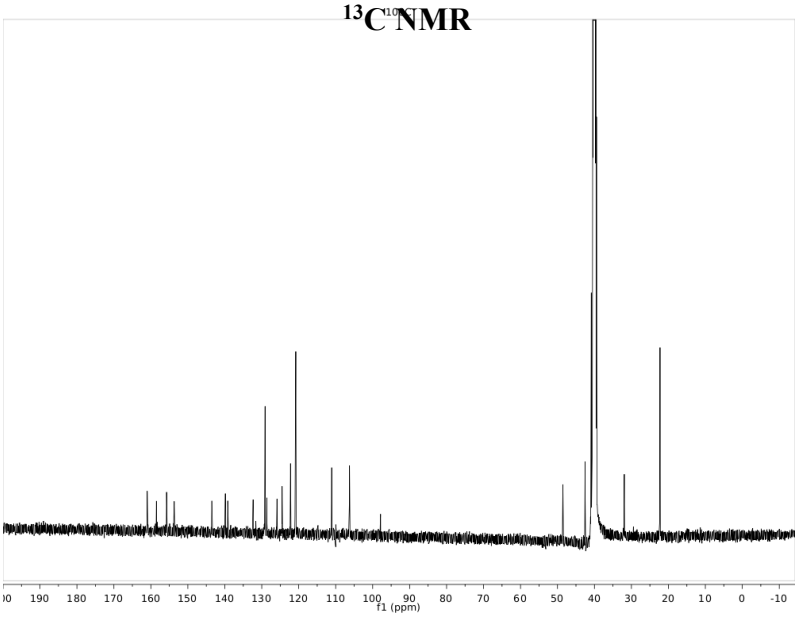
Compound S24:



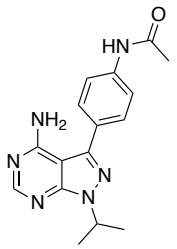
^{1}H NMR



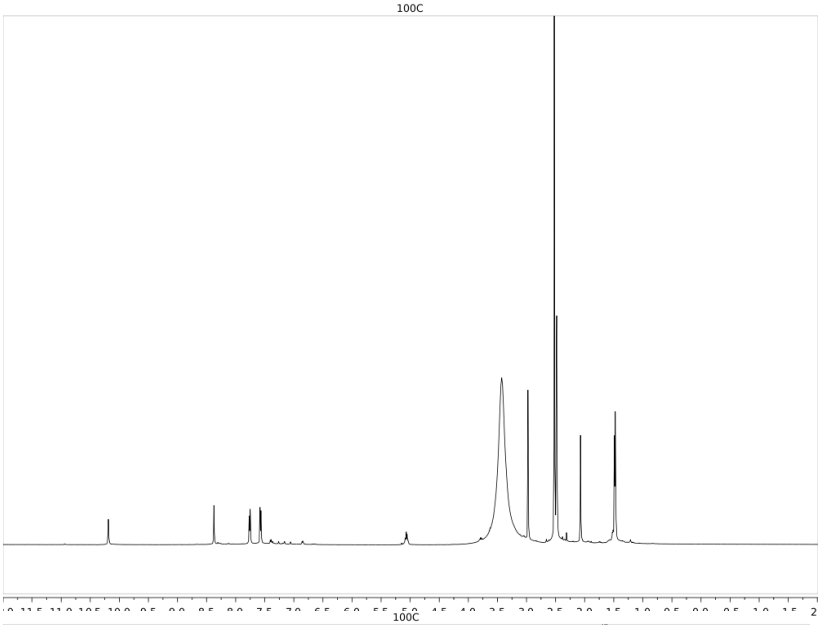
^{13}C NMR



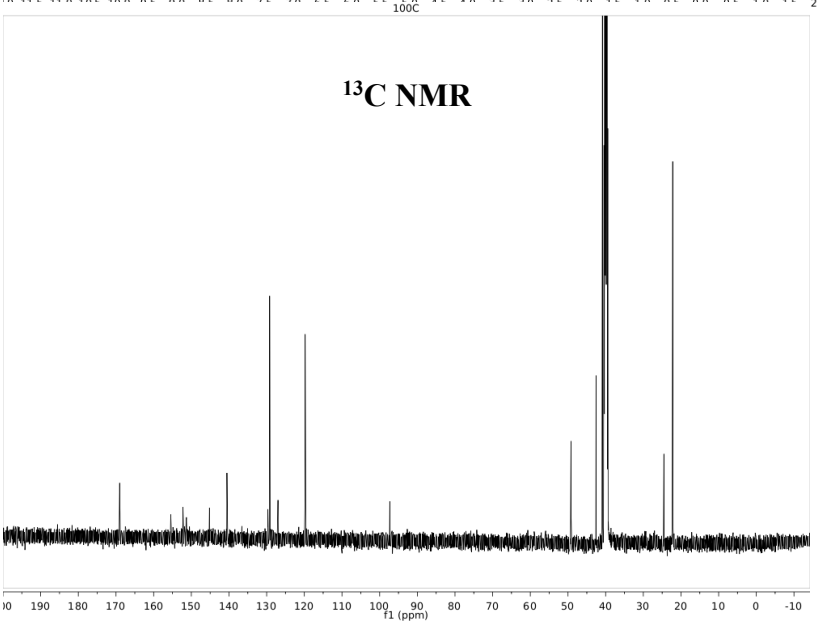
Compound S26:



^{1}H NMR



^{13}C NMR

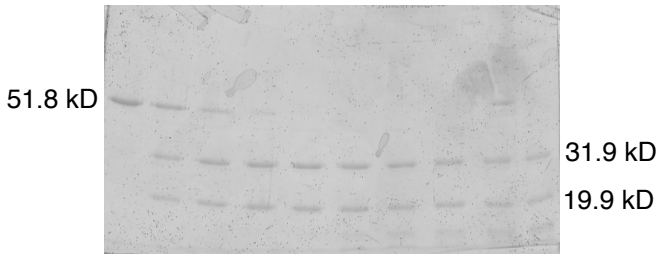


V. Selective proteolysis method

Selective Proteolysis of c-Src General Method

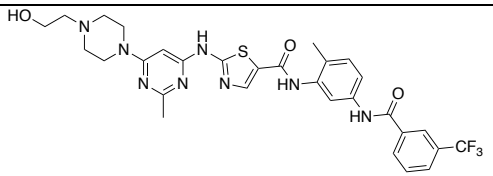
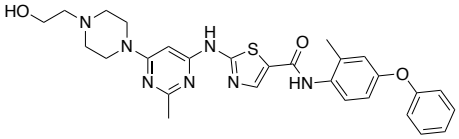
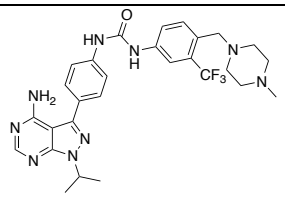
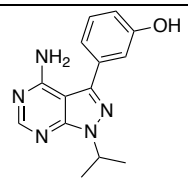
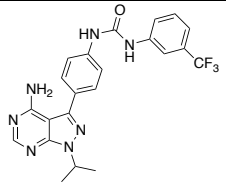
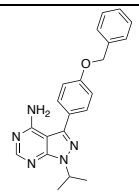
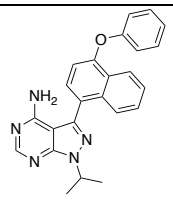
Limited proteolysis studies were performed as previously reported.[1] c-Src (three domain) was diluted in proteolysis buffer (50 mM Tris-HCl pH 8.0, 100 mM NaCl, 0.5 mM $CaCl_2$) to yield a final protein concentration of 2 μM. 1 uL of a 10 mM DMSO stock of the inhibitor was added and incubated with c-Src for 15 mins at room temperature. Thermolysin (purchased from Promega, catalog number: V4001) from a 3.8 μM stock solution was added to the reaction mixture to a final concentration of 60 nM. 15 μL of the proteolysis reaction was added to 5 μL of 50 mM EDTA to quench proteolysis at various time points (0, 2, 5, 10, 30, 60, 90, 120, 180, and 240 mins) and stored at -20 °C. The quenched samples were analyzed by SDS-PAGE (12 % Bis-Tris gel in MES running buffer, staining with comassie blue). Band intensities were analyzed by ImageJ imaging software. Percent full-length protein remaining was plotted against time and fit to an exponential decay equation using GraphPad Prism 6 software to obtain half-lifes of each protein. The Exponential Decay curve for each protein was fit using the equation Y=(Y0 - Plateau)*exp(-K*X) + Plateau X = time(mins) and Y = normalized band intensity.

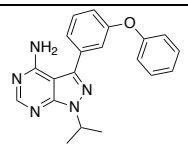
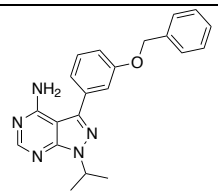
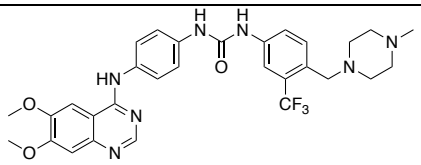
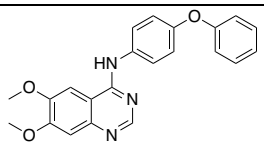
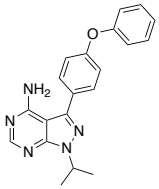
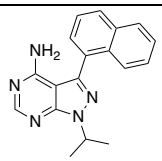
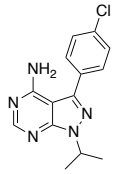
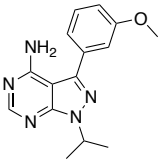
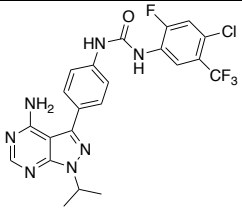
Annotated example SDS-PAGE gel:

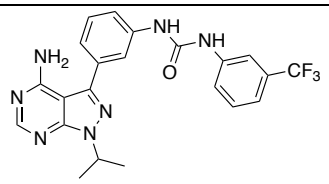
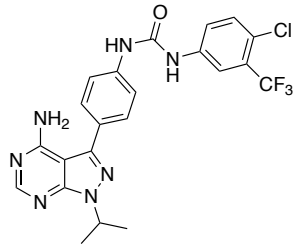
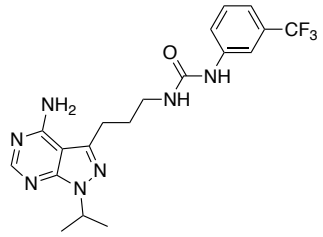
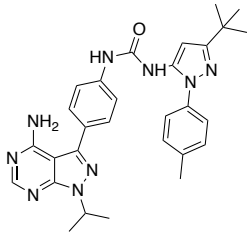
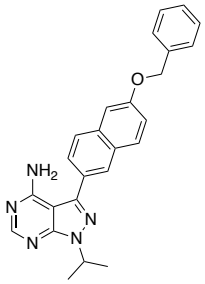
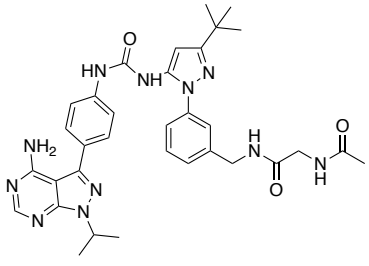


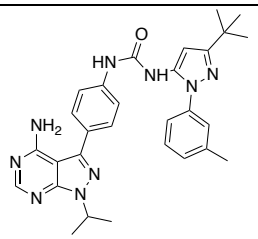
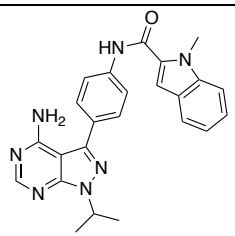
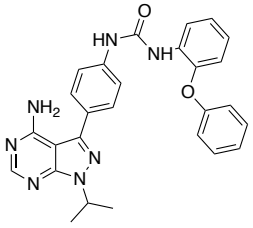
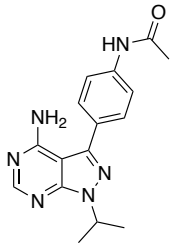
Half-lives are calculated using the full-length (51.8 kD) band intensity.

VI. Compound table with proteolysis half-lives

Compound	Structure	Half-life (min)
Vehicle	Dimethyl sulfoxide	33
1		2.7
2		82
3		2.2
4		3.7
5		16
6		85
7		91

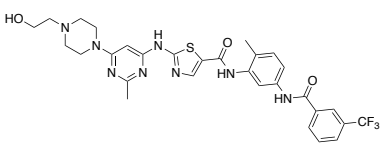
8		94
9		159
10		4.5
11		100
12		71
S13		11
S14		13
S15		13
S16		14

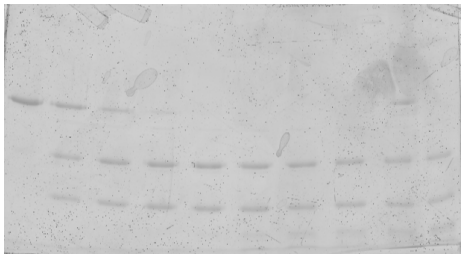
S17		16
S18		23
S19		24
S20		42
S21		44
S22		44

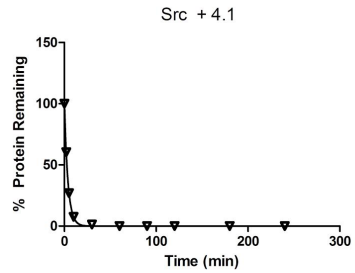
S23		49
S24		51
S25		70
S26		84

VII. Selective proteolysis analytical data

Compound 1 (60 μM) with 2 μM c-Src & 60 nM Thermolysin $T_{1/2}$ = **2.7 mins**

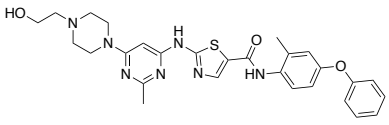


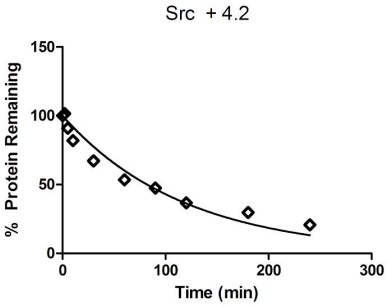




	4.1
Half Life	2.7

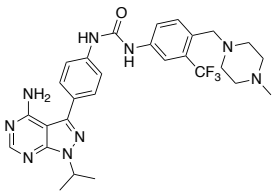
Compound 2 (60 μM) with 2 uM c-Src & 60 nM Thermolysin $T_{1/2}$ = **82 mins**

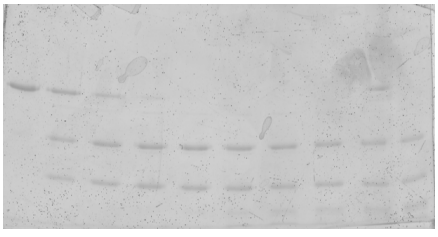


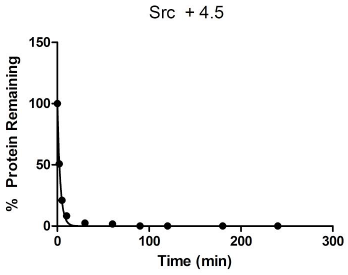


	4.2
Half Life	82

Compound 3 (60 μM) with 2 μM c-Src & 60 nM Thermolysin $T_{1/2}$ = **2.2 mins**

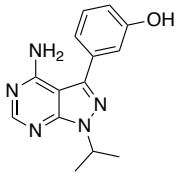


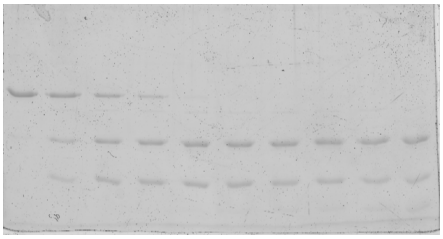


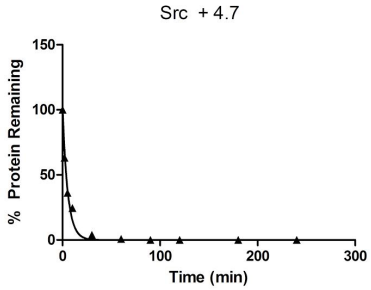


	4.5
Half Life	2.2

Compound 4 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $T_{1/2}$ = **3.7 mins**

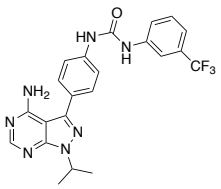


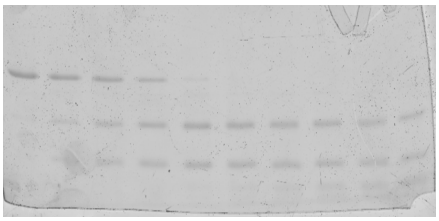


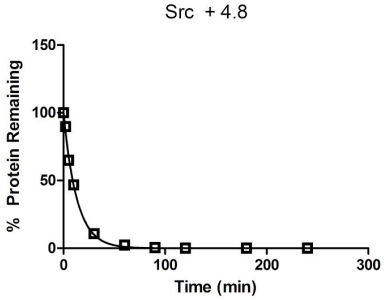


	4.7
Half Life	3.7

Compound 5 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $T_{1/2}$ = **9.1 mins**

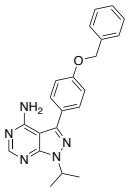


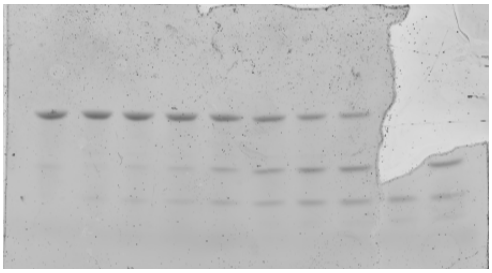


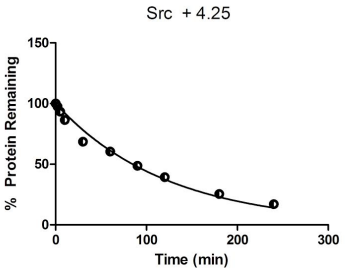


	4.8
Half Life	9.1

Compound 6 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $T_{1/2}$ = **85 mins**

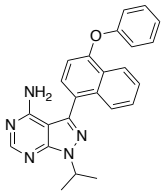


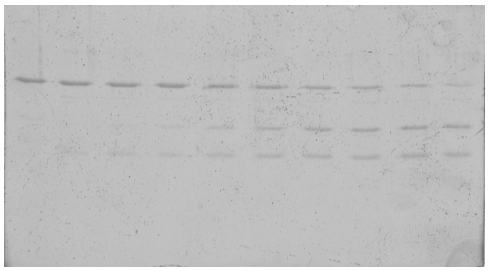


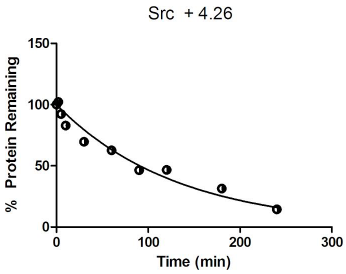


	4.25
Half Life	85

Compound 7 (60 µM) with 2 µM c-Src & 60 nM Thermolysin **$T_{1/2}$ = 91 mins**

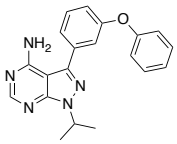


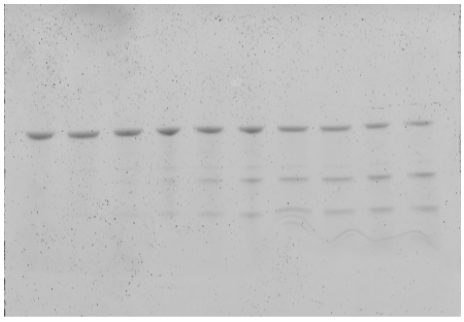


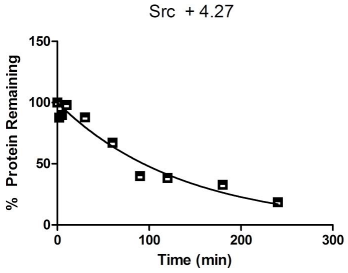


	4.26
Half Life	91

Compound 8 (60 µM) with 2 µM c-Src & 60 nM Thermolysin **$T_{1/2}$ = 94 mins**

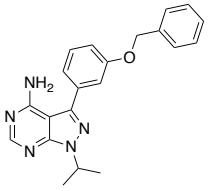


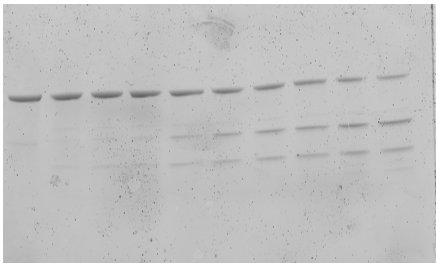


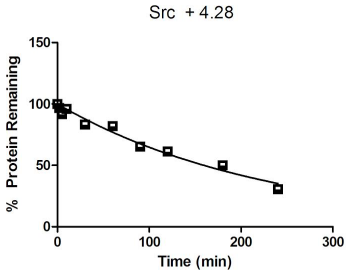


	4.27
Half Life	94

Compound 9 (60uM) with 2 uM c-Src & 60 nM Thermolysin **$T_{1/2}$ = 159 mins**

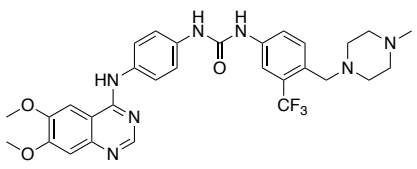


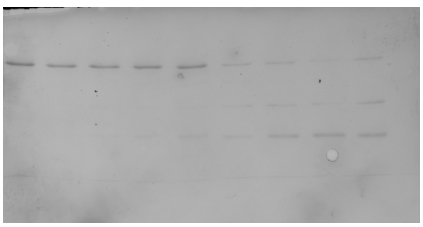


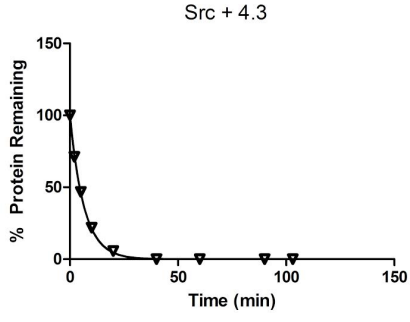


	4.28
Half Life	159

Compound 10 (60 µM) with 2 µM c-Src & 60 nM Thermolysin **$T_{1/2}$** = 4.5 mins

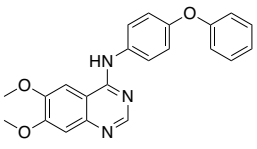


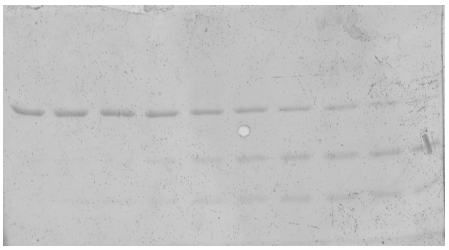


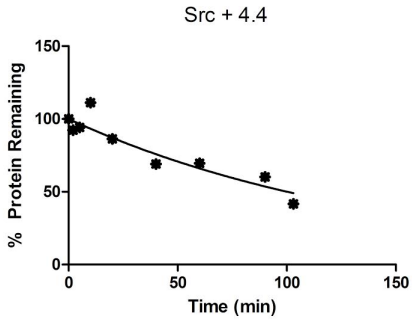


	4.3
Half Life	4.498

Compound 11 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ = 100 mins

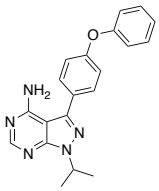


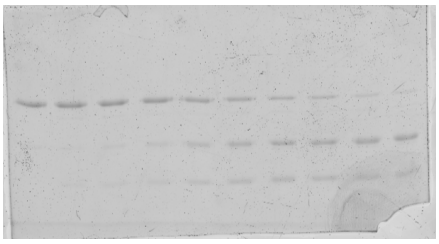


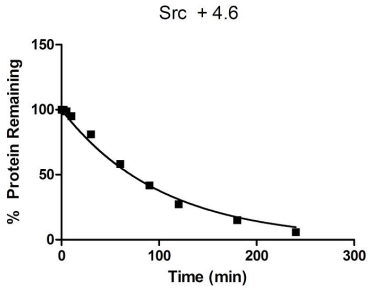


	4.4
Half Life	100.2

Compound 12 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ = **71 mins**

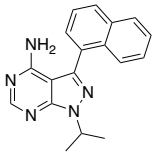


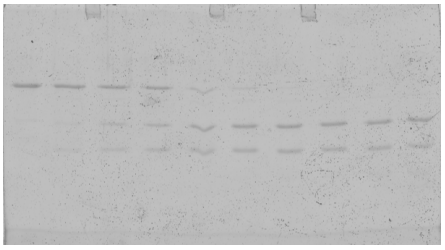


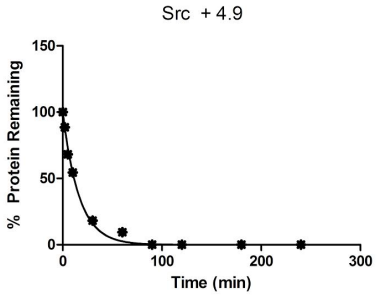


	4.6
Half Life	71

Compound S13 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ = **11 mins**

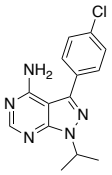


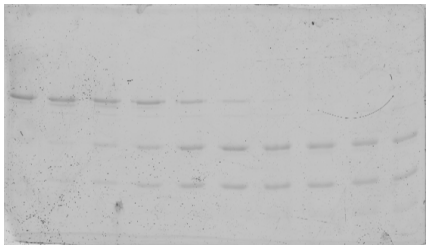


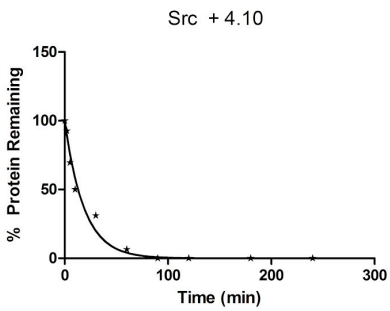


	4.9
Half Life	11

Compound S14 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 13 mins**

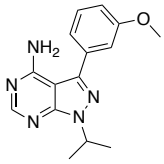


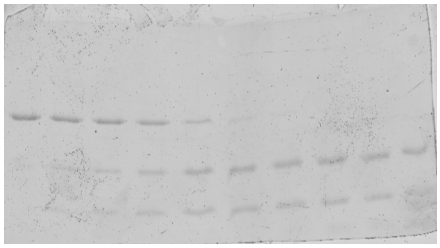


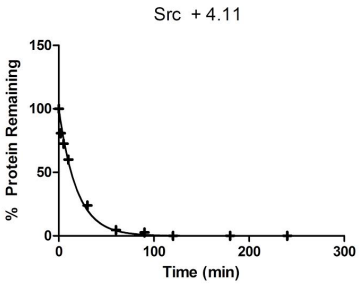


	4.10
Half Life	13

Compound S15 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 13 mins**

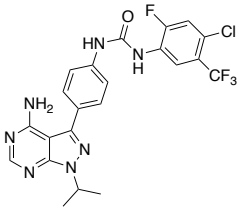


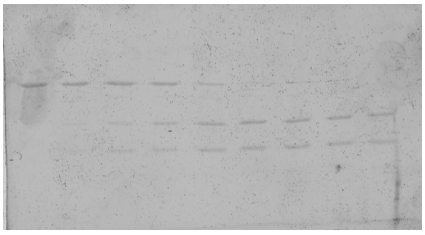


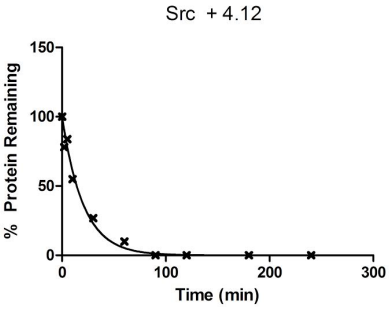


	4.11
Half Life	13

Compound **S16** (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 14 mins**

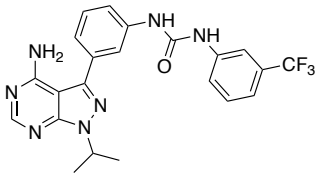


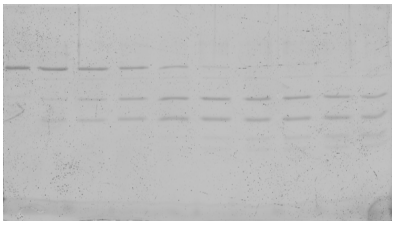


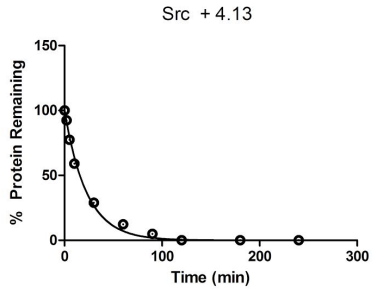


	4.12
Half Life	14

Compound S17 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 16 mins**

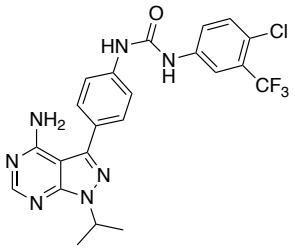


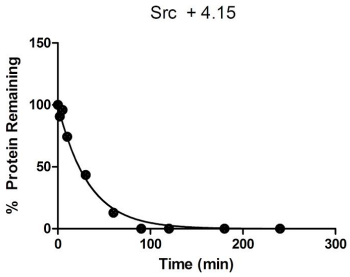




	4.13
Half Life	16

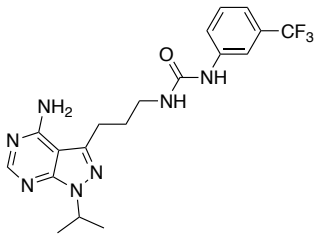
Compound S18 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 23 mins**

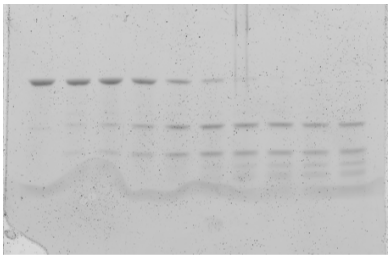


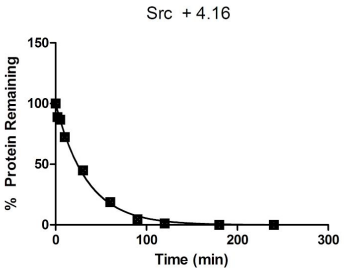


	4.15
Half Life	23

Compound S19 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 24 mins**

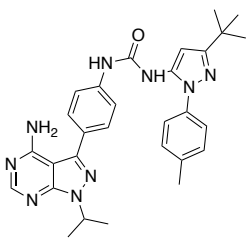


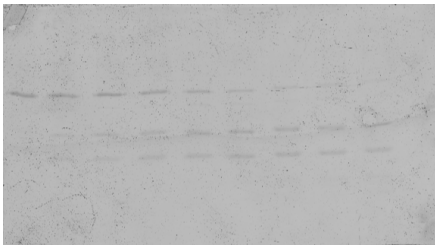


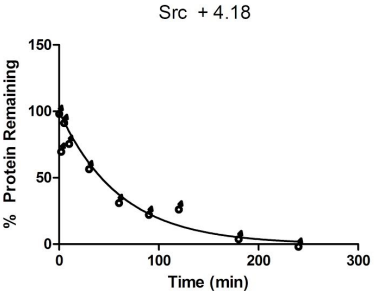


	4.16
Half Life	24

Compound S20 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 42 mins**

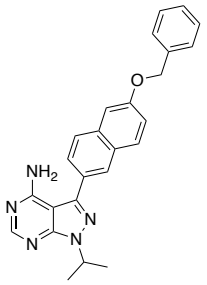


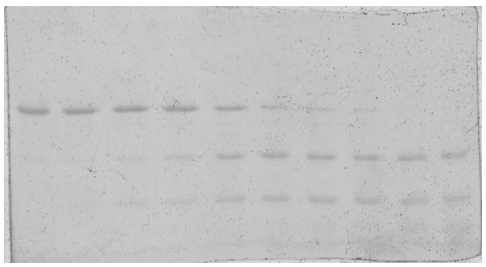


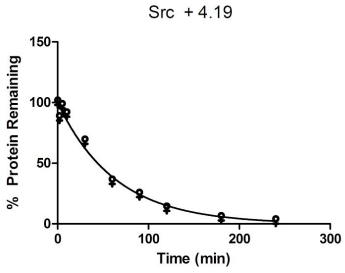


	4.18
Half Life	42

Compound S21 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 44 mins**

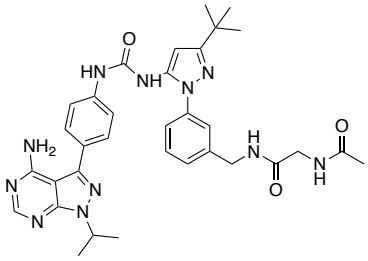


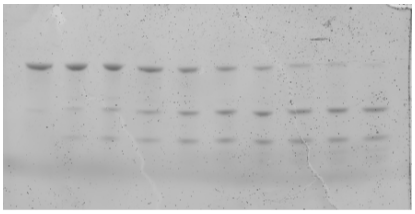


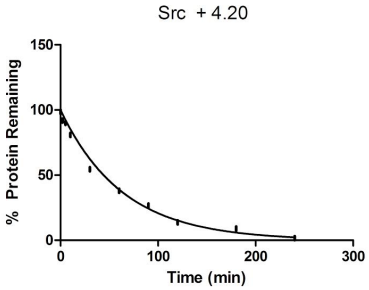


	4.19
Half Life	44

Compound S22 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 44 mins**

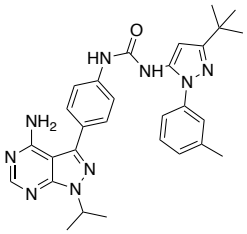


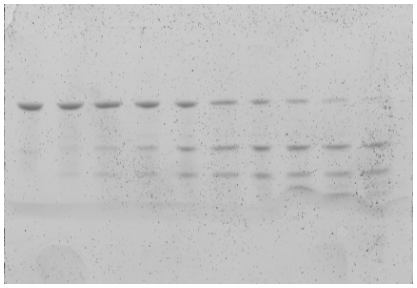


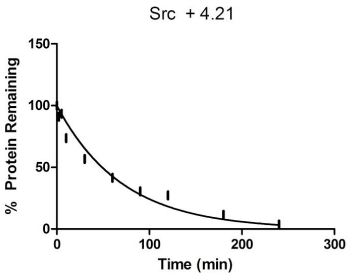


	4.20
Half Life	44

Compound S23 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 49 mins**

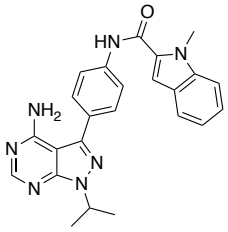


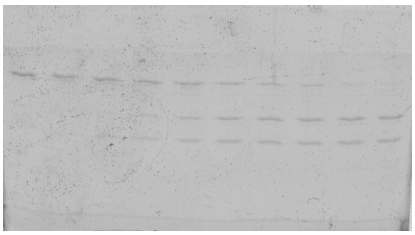


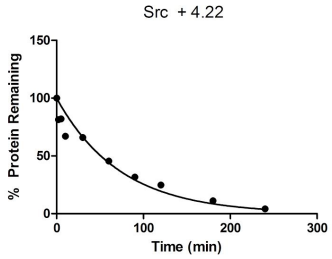


	4.21
Half Life	49

Compound S24 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 51 mins**

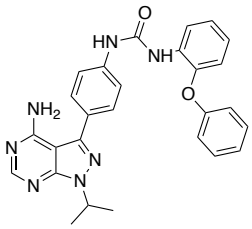


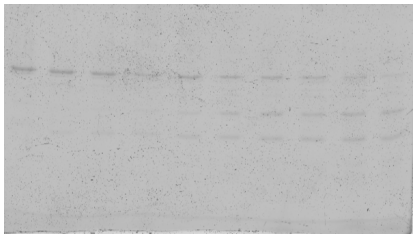


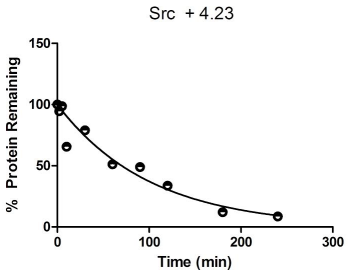


	4.22
Half Life	51

Compound S25 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 70 mins**

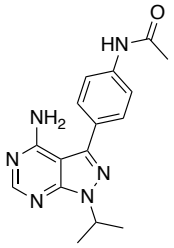


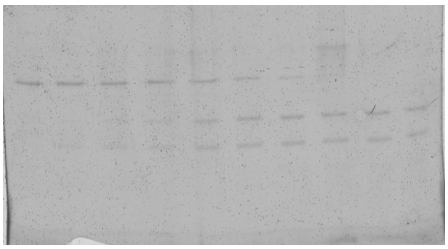


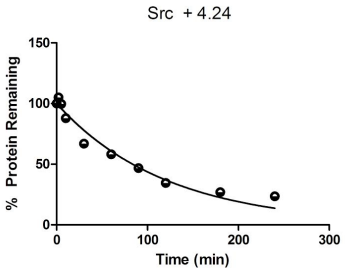


	4.23
Half Life	70

Compound S26 (60 µM) with 2 µM c-Src & 60 nM Thermolysin $\mathbf{T_{1/2}}$ **= 84 mins**



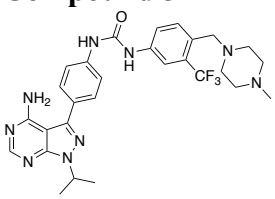




	4.24
Half Life	84

VIII. Kinome profiling data

Compound 3



DiscoveRx Gene Symbol	Entrez Gene Symbol	Percent Control	Compou
AAK1	AAK1	66	
ABL1(E255K)-phosphorylated	ABL1	0.1	
ABL1(F317I)-nonphosphorylated	ABL1	1.6	
ABL1(F317I)-phosphorylated	ABL1	2	
ABL1(F317L)-nonphosphorylated	ABL1	6.5	
ABL1(F317L)-phosphorylated	ABL1	0.65	
ABL1(H396P)-nonphosphorylated	ABL1	0.15	
ABL1(H396P)-phosphorylated	ABL1	0	
ABL1(M351T)-phosphorylated	ABL1	0.35	
ABL1(Q252H)-nonphosphorylated	ABL1	1.1	
ABL1(Q252H)-phosphorylated	ABL1	0.15	
ABL1(T315I)-nonphosphorylated	ABL1	0.3	
ABL1(T315I)-phosphorylated	ABL1	0.2	
ABL1(Y253F)-phosphorylated	ABL1	0.1	
ABL1-nonphosphorylated	ABL1	0.2	
ABL1-phosphorylated	ABL1	0.05	
ABL2	ABL2	0.05	
ACVR1	ACVR1	100	
ACVR1B	ACVR1B	75	
ACVR2A	ACVR2A	100	
ACVR2B	ACVR2B	80	
ACVRL1	ACVRL1	73	
ADCK3	CABC1	92	
ADCK4	ADCK4	82	
AKT1	AKT1	100	
AKT2	AKT2	62	
AKT3	AKT3	11	
ALK	ALK	0.85	
ALK(C1156Y)	ALK	1.9	
ALK(L1196M)	ALK	8.9	
AMPK-alpha1	PRKAA1	0.6	

AMPK-alpha2	PRKAA2	1.7
ANKK1	ANKK1	1.4
ARK5	NUAK1	56
ASK1	MAP3K5	95
ASK2	MAP3K6	20
AURKA	AURKA	3.7
AURKB	AURKB	2.2
AURKC	AURKC	12
AXL	AXL	2.4
BIKE	BMP2K	80
BLK	BLK	0
BMPR1A	BMPR1A	91
BMPR1B	BMPR1B	45
BMPR2	BMPR2	100
BMX	BMX	0
BRAF	BRAF	4.3
BRAF(V600E)	BRAF	0.65
BRK	PTK6	69
BRSK1	BRSK1	100
BRSK2	BRSK2	100
BTK	BTK	0.75
BUB1	BUB1	95
CAMK1	CAMK1	11
CAMK1B	PNCK	0
CAMK1D	CAMK1D	0.85
CAMK1G	CAMK1G	30
CAMK2A	CAMK2A	69
CAMK2B	CAMK2B	45
CAMK2D	CAMK2D	94
CAMK2G	CAMK2G	72
CAMK4	CAMK4	23
CAMKK1	CAMKK1	7.3
CAMKK2	CAMKK2	2.7
CASK	CASK	91
CDC2L1	CDK11B	52
CDC2L2	CDC2L2	42
CDC2L5	CDK13	0.25
CDK11	CDK19	5.5
CDK2	CDK2	0.3
CDK3	CDK3	0.05

CDK4	CDK4	92
CDK4-cyclinD1	CDK4	73
CDK4-cyclinD3	CDK4	51
CDK5	CDK5	0.35
CDK7	CDK7	0.05
CDK8	CDK8	5.7
CDK9	CDK9	6.6
CDKL1	CDKL1	2.1
CDKL2	CDKL2	0
CDKL3	CDKL3	0.55
CDKL5	CDKL5	1.6
CHEK1	CHEK1	91
CHEK2	CHEK2	0
CIT	CIT	2.9
CLK1	CLK1	0.5
CLK2	CLK2	2.9
CLK3	CLK3	18
CLK4	CLK4	0
CSF1R	CSF1R	0
CSF1R-autoinhibited	CSF1R	64
CSK	CSK	0.75
CSNK1A1	CSNK1A1	51
CSNK1A1L	CSNK1A1L	98
CSNK1D	CSNK1D	43
CSNK1E	CSNK1E	34
CSNK1G1	CSNK1G1	79
CSNK1G2	CSNK1G2	100
CSNK1G3	CSNK1G3	97
CSNK2A1	CSNK2A1	77
CSNK2A2	CSNK2A2	100
CTK	MATK	13
DAPK1	DAPK1	24
DAPK2	DAPK2	18
DAPK3	DAPK3	1.3
DCAMKL1	DCLK1	67
DCAMKL2	DCLK2	85
DCAMKL3	DCLK3	3.8
DDR1	DDR1	0
DDR2	DDR2	0
DLK	MAP3K12	50

DMPK	DMPK	55
DMPK2	CDC42BPG	41
DRAK1	STK17A	99
DRAK2	STK17B	76
DYRK1A	DYRK1A	45
DYRK1B	DYRK1B	42
DYRK2	DYRK2	3.5
EGFR	EGFR	2.8
EGFR(E746-A750del)	EGFR	5.5
EGFR(G719C)	EGFR	5.3
EGFR(G719S)	EGFR	6.5
EGFR(L747-E749del, A750P)	EGFR	2.9
EGFR(L747-S752del, P753S)	EGFR	2.3
EGFR(L747-T751del,Sins)	EGFR	1.6
EGFR(L858R)	EGFR	2
EGFR(L858R,T790M)	EGFR	1.4
EGFR(L861Q)	EGFR	1
EGFR(S752-I759del)	EGFR	0
EGFR(T790M)	EGFR	1.4
EIF2AK1	EIF2AK1	65
EPHA1	EPHA1	30
EPHA2	EPHA2	1.4
EPHA3	EPHA3	9.5
EPHA4	EPHA4	1.8
EPHA5	EPHA5	3.1
EPHA6	EPHA6	3
EPHA7	EPHA7	0.85
EPHA8	EPHA8	0
EPHB1	EPHB1	12
EPHB2	EPHB2	0.8
EPHB3	EPHB3	56
EPHB4	EPHB4	45
EPHB6	EPHB6	1.4
ERBB2	ERBB2	5.3
ERBB3	ERBB3	92
ERBB4	ERBB4	12
ERK1	MAPK3	98
ERK2	MAPK1	100
ERK3	MAPK6	56
ERK4	MAPK4	97

ERK5	MAPK7	78
ERK8	MAPK15	2.7
ERN1	ERN1	13
FAK	PTK2	0.5
FER	FER	0
FES	FES	0.3
FGFR1	FGFR1	0
FGFR2	FGFR2	0.2
FGFR3	FGFR3	0.25
FGFR3(G697C)	FGFR3	0
FGFR4	FGFR4	0
FGR	FGR	0.3
FLT1	FLT1	1.5
FLT3	FLT3	0.25
FLT3(D835H)	FLT3	0.8
FLT3(D835V)	FLT3	0.3
FLT3(D835Y)	FLT3	24
FLT3(ITD)	FLT3	0
FLT3(ITD,D835V)	FLT3	11
FLT3(ITD,F691L)	FLT3	0
FLT3(K663Q)	FLT3	0.25
FLT3(N841I)	FLT3	3.1
FLT3(R834Q)	FLT3	1.9
FLT3-autoinhibited	FLT3	28
FLT4	FLT4	0
FRK	FRK	0.1
FYN	FYN	0.35
GAK	GAK	31
GCN2(Kin.Dom.2,S808G)	EIF2AK4	0
GRK1	GRK1	93
GRK2	ADRBK1	96
GRK3	ADRBK2	65
GRK4	GRK4	99
GRK7	GRK7	20
GSK3A	GSK3A	7.9
GSK3B	GSK3B	46
HASPIN	GSG2	76
HCK	HCK	0
HIPK1	HIPK1	0.1
HIPK2	HIPK2	0.1

HIPK3	HIPK3	0.45
HIPK4	HIPK4	0.1
HPK1	MAP4K1	0.15
HUNK	HUNK	91
ICK	ICK	24
IGF1R	IGF1R	3.2
IKK-alpha	CHUK	0
IKK-beta	IKBKB	0.05
IKK-epsilon	IKBKE	21
INSR	INSR	0.2
INSRR	INSRR	0
IRAK1	IRAK1	0
IRAK3	IRAK3	34
IRAK4	IRAK4	1.4
ITK	ITK	0.2
JAK1(JH1domain-catalytic)	JAK1	1.1
JAK1(JH2domain-pseudokinase)	JAK1	100
JAK2(JH1domain-catalytic)	JAK2	0.45
JAK3(JH1domain-catalytic)	JAK3	0
JNK1	MAPK8	12
JNK2	MAPK9	0.55
JNK3	MAPK10	36
KIT	KIT	0
KIT(A829P)	KIT	4.2
KIT(D816H)	KIT	2.2
KIT(D816V)	KIT	0.7
KIT(L576P)	KIT	0
KIT(V559D)	KIT	0
KIT(V559D,T670I)	KIT	0.1
KIT(V559D,V654A)	KIT	0.4
KIT-autoinhibited	KIT	55
LATS1	LATS1	1.6
LATS2	LATS2	6.3
LCK	LCK	0
LIMK1	LIMK1	71
LIMK2	LIMK2	55
LKB1	STK11	100
LOK	STK10	0
LRRK2	LRRK2	12
LRRK2(G2019S)	LRRK2	25

LTK	LTK	0
LYN	LYN	0
LZK	MAP3K13	69
MAK	MAK	1.2
MAP3K1	MAP3K1	17
MAP3K15	MAP3K15	8.8
MAP3K2	MAP3K2	0.4
MAP3K3	MAP3K3	0.5
MAP3K4	MAP3K4	15
MAP4K2	MAP4K2	0
MAP4K3	MAP4K3	0.55
MAP4K4	MAP4K4	1.9
MAP4K5	MAP4K5	0.25
MAPKAPK2	MAPKAPK2	100
MAPKAPK5	MAPKAPK5	92
MARK1	MARK1	30
MARK2	MARK2	27
MARK3	MARK3	12
MARK4	MARK4	75
MAST1	MAST1	85
MEK1	MAP2K1	44
MEK2	MAP2K2	39
MEK3	MAP2K3	22
MEK4	MAP2K4	4.5
MEK5	MAP2K5	0.3
MEK6	MAP2K6	45
MELK	MELK	0
MERTK	MERTK	0.7
MET	MET	30
MET(M1250T)	MET	4.3
MET(Y1235D)	MET	0
MINK	MINK1	2.1
MKK7	MAP2K7	4.3
MKNK1	MKNK1	0
MKNK2	MKNK2	0
MLCK	MYLK3	31
MLK1	MAP3K9	1
MLK2	MAP3K10	0
MLK3	MAP3K11	0.3
MRCKA	CDC42BPA	93

MRCKB	CDC42BPB	80
MST1	STK4	0
MST1R	MST1R	8.5
MST2	STK3	5.9
MST3	STK24	3.2
MST4	MST4	1.3
MTOR	MTOR	69
MUSK	MUSK	2.2
MYLK	MYLK	69
MYLK2	MYLK2	3.4
MYLK4	MYLK4	81
MYO3A	MYO3A	0
MYO3B	MYO3B	0
NDR1	STK38	22
NDR2	STK38L	12
NEK1	NEK1	28
NEK10	NEK10	1.4
NEK11	NEK11	47
NEK2	NEK2	62
NEK3	NEK3	83
NEK4	NEK4	1.2
NEK5	NEK5	1.2
NEK6	NEK6	10
NEK7	NEK7	9.6
NEK9	NEK9	0
NIK	MAP3K14	69
NIM1	MGC42105	100
NLK	NLK	16
OSR1	OXSR1	0.65
p38-alpha	MAPK14	2.4
p38-beta	MAPK11	59
p38-delta	MAPK13	0
p38-gamma	MAPK12	2.9
PAK1	PAK1	37
PAK2	PAK2	73
PAK3	PAK3	5.2
PAK4	PAK4	84
PAK6	PAK6	75
PAK7	PAK7	87
PCTK1	CDK16	0.2

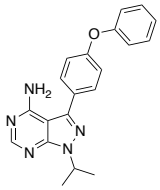
PCTK2	CDK17	0
PCTK3	CDK18	0
PDGFRA	PDGFRA	0.3
PDGFRB	PDGFRB	0
PDPK1	PDPK1	4.3
PFCDPK1(P.falciparum)	CDPK1	0.2
PFPK5(P.falciparum)	MAL13P1.279	98
PFTAIRE2	CDK15	0
PFTK1	CDK14	0
PHKG1	PHKG1	96
PHKG2	PHKG2	58
PIK3C2B	PIK3C2B	100
PIK3C2G	PIK3C2G	99
PIK3CA	PIK3CA	100
PIK3CA(C420R)	PIK3CA	92
PIK3CA(E542K)	PIK3CA	85
PIK3CA(E545A)	PIK3CA	95
PIK3CA(E545K)	PIK3CA	62
PIK3CA(H1047L)	PIK3CA	93
PIK3CA(H1047Y)	PIK3CA	100
PIK3CA(I800L)	PIK3CA	67
PIK3CA(M1043I)	PIK3CA	100
PIK3CA(Q546K)	PIK3CA	96
PIK3CB	PIK3CB	93
PIK3CD	PIK3CD	95
PIK3CG	PIK3CG	100
PIK4CB	PI4KB	73
PIKFYVE	PIKFYVE	100
PIM1	PIM1	86
PIM2	PIM2	100
PIM3	PIM3	93
PIP5K1A	PIP5K1A	92
PIP5K1C	PIP5K1C	100
PIP5K2B	PIP4K2B	100
PIP5K2C	PIP4K2C	90
PKAC-alpha	PRKACA	22
PKAC-beta	PRKACB	12
PKMYT1	PKMYT1	83
PKN1	PKN1	61
PKN2	PKN2	79

PKNB(M.tuberculosis)	pknB	72
PLK1	PLK1	100
PLK2	PLK2	2.5
PLK3	PLK3	1.9
PLK4	PLK4	1.5
PRKCD	PRKCD	5.1
PRKCE	PRKCE	1.2
PRKCH	PRKCH	8.1
PRKCI	PRKCI	6.3
PRKCQ	PRKCQ	7.8
PRKD1	PRKD1	18
PRKD2	PRKD2	1.4
PRKD3	PRKD3	0
PRKG1	PRKG1	60
PRKG2	PRKG2	93
PRKR	EIF2AK2	33
PRKX	PRKX	16
PRP4	PRPF4B	85
PYK2	PTK2B	0.5
QSK	KIAA0999	75
RAF1	RAF1	5.4
RET	RET	0
RET(M918T)	RET	0
RET(V804L)	RET	0
RET(V804M)	RET	0
RIOK1	RIOK1	90
RIOK2	RIOK2	63
RIOK3	RIOK3	95
RIPK1	RIPK1	0.05
RIPK2	RIPK2	8.6
RIPK4	RIPK4	2.3
RIPK5	DSTYK	2.6
ROCK1	ROCK1	1.3
ROCK2	ROCK2	0
ROS1	ROS1	5.1
RPS6KA4(Kin.Dom.1-N-terminal)	RPS6KA4	0
RPS6KA4(Kin.Dom.2-C-terminal)	RPS6KA4	85
RPS6KA5(Kin.Dom.1-N-terminal)	RPS6KA5	0.1
RPS6KA5(Kin.Dom.2-C-terminal)	RPS6KA5	75
RSK1(Kin.Dom.1-N-terminal)	RPS6KA1	0

RSK1(Kin.Dom.2-C-terminal)	RPS6KA1	50
RSK2(Kin.Dom.1-N-terminal)	RPS6KA3	0.05
RSK2(Kin.Dom.2-C-terminal)	RPS6KA3	100
RSK3(Kin.Dom.1-N-terminal)	RPS6KA2	0.8
RSK3(Kin.Dom.2-C-terminal)	RPS6KA2	75
RSK4(Kin.Dom.1-N-terminal)	RPS6KA6	0.25
RSK4(Kin.Dom.2-C-terminal)	RPS6KA6	57
S6K1	RPS6KB1	0.1
SBK1	SBK1	71
SGK	SGK1	8.4
SgK110	SgK110	100
SGK2	SGK2	24
SGK3	SGK3	1.8
SIK	SIK1	1.5
SIK2	SIK2	87
SLK	SLK	0
SNARK	NUAK2	38
SNRK	SNRK	96
SRC	SRC	0
SRMS	SRMS	1.6
SRPK1	SRPK1	98
SRPK2	SRPK2	70
SRPK3	SRPK3	100
STK16	STK16	80
STK33	STK33	0.1
STK35	STK35	1.2
STK36	STK36	20
STK39	STK39	9.5
SYK	SYK	0
TAK1	MAP3K7	0
TAOK1	TAOK1	0.45
TAOK2	TAOK2	3.2
TAOK3	TAOK3	0.3
TBK1	TBK1	48
TEC	TEC	29
TESK1	TESK1	3.2
TGFBR1	TGFBR1	75
TGFBR2	TGFBR2	39
TIE1	TIE1	0
TIE2	TEK	0

TLK1	TLK1	39
TLK2	TLK2	43
TNIK	TNIK	0.6
TNK1	TNK1	0.35
TNK2	TNK2	0.4
TNNI3K	TNNI3K	3.8
TRKA	NTRK1	0.05
TRKB	NTRK2	0.2
TRKC	NTRK3	0.05
TRPM6	TRPM6	71
TSSK1B	TSSK1B	70
TSSK3	TSSK3	99
TTK	TTK	5.3
TXK	TXK	9.5
TYK2(JH1domain-catalytic)	TYK2	5.3
TYK2(JH2domain-pseudokinase)	TYK2	100
TYRO3	TYRO3	3.7
ULK1	ULK1	43
ULK2	ULK2	7.2
ULK3	ULK3	0.15
VEGFR2	KDR	0.45
VPS34	PIK3C3	74
VRK2	VRK2	82
WEE1	WEE1	61
WEE2	WEE2	12
WNK1	WNK1	20
WNK2	WNK2	17
WNK3	WNK3	5.1
WNK4	WNK4	44
YANK1	STK32A	100
YANK2	STK32B	100
YANK3	STK32C	80
YES	YES1	0.2
YSK1	STK25	22
YSK4	MAP3K19	0.15
ZAK	ZAK	0.1
ZAP70	ZAP70	1.8

Compound 12:



DiscoveRx Gene Symbol	Entrez Gene Symbol	Percent Control	Compound Concentration (nM)
AAK1	AAK1	83	500
ABL1(E255K)-phosphorylated	ABL1	33	500
ABL1(F317I)-nonphosphorylated	ABL1	3	500
ABL1(F317I)-phosphorylated	ABL1	41	500
ABL1(F317L)-nonphosphorylated	ABL1	7.9	500
ABL1(F317L)-phosphorylated	ABL1	19	500
ABL1(H396P)-nonphosphorylated	ABL1	10	500
ABL1(H396P)-phosphorylated	ABL1	39	500
ABL1(M351T)-phosphorylated	ABL1	59	500
ABL1(Q252H)-nonphosphorylated	ABL1	5.6	500
ABL1(Q252H)-phosphorylated	ABL1	38	500
ABL1(T315I)-nonphosphorylated	ABL1	99	500
ABL1(T315I)-phosphorylated	ABL1	97	500
ABL1(Y253F)-phosphorylated	ABL1	38	500
ABL1-nonphosphorylated	ABL1	1.9	500
ABL1-phosphorylated	ABL1	35	500
ABL2	ABL2	24	500
ACVR1	ACVR1	95	500
ACVR1B	ACVR1B	100	500
ACVR2A	ACVR2A	100	500
ACVR2B	ACVR2B	99	500
ACVRL1	ACVRL1	100	500
ADCK3	CABC1	95	500
ADCK4	ADCK4	72	500
AKT1	AKT1	68	500
AKT2	AKT2	95	500
AKT3	AKT3	91	500
ALK	ALK	86	500
ALK(C1156Y)	ALK	90	500
ALK(L1196M)	ALK	96	500
AMPK-alpha1	PRKAA1	71	500
AMPK-alpha2	PRKAA2	71	500

ANKK1	ANKK1	76	500
ARK5	NUAK1	100	500
ASK1	MAP3K5	92	500
ASK2	MAP3K6	77	500
AURKA	AURKA	81	500
AURKB	AURKB	75	500
AURKC	AURKC	53	500
AXL	AXL	68	500
BIKE	BMP2K	78	500
BLK	BLK	0.05	500
BMPR1A	BMPR1A	92	500
BMPR1B	BMPR1B	100	500
BMPR2	BMPR2	97	500
BMX	BMX	14	500
BRAF	BRAF	88	500
BRAF(V600E)	BRAF	71	500
BRK	PTK6	0.55	500
BRSK1	BRSK1	85	500
BRSK2	BRSK2	82	500
BTK	BTK	0.1	500
BUB1	BUB1	99	500
CAMK1	CAMK1	70	500
CAMK1B	PNCK	83	500
CAMK1D	CAMK1D	82	500
CAMK1G	CAMK1G	86	500
CAMK2A	CAMK2A	95	500
CAMK2B	CAMK2B	81	500
CAMK2D	CAMK2D	86	500
CAMK2G	CAMK2G	85	500
CAMK4	CAMK4	70	500
CAMKK1	CAMKK1	65	500
CAMKK2	CAMKK2	66	500
CASK	CASK	85	500
CDC2L1	CDK11B	68	500
CDC2L2	CDC2L2	80	500
CDC2L5	CDK13	100	500
CDK11	CDK19	73	500
CDK2	CDK2	82	500
CDK3	CDK3	89	500
CDK4	CDK4	70	500

CDK4-cyclinD1	CDK4	86	500
CDK4-cyclinD3	CDK4	72	500
CDK5	CDK5	100	500
CDK7	CDK7	73	500
CDK8	CDK8	93	500
CDK9	CDK9	72	500
CDKL1	CDKL1	65	500
CDKL2	CDKL2	96	500
CDKL3	CDKL3	96	500
CDKL5	CDKL5	89	500
CHEK1	CHEK1	89	500
CHEK2	CHEK2	90	500
CIT	CIT	98	500
CLK1	CLK1	78	500
CLK2	CLK2	89	500
CLK3	CLK3	37	500
CLK4	CLK4	97	500
CSF1R	CSF1R	61	500
CSF1R-autoinhibited	CSF1R	99	500
CSK	CSK	2.6	500
CSNK1A1	CSNK1A1	57	500
CSNK1A1L	CSNK1A1L	86	500
CSNK1D	CSNK1D	79	500
CSNK1E	CSNK1E	8.3	500
CSNK1G1	CSNK1G1	81	500
CSNK1G2	CSNK1G2	94	500
CSNK1G3	CSNK1G3	95	500
CSNK2A1	CSNK2A1	78	500
CSNK2A2	CSNK2A2	89	500
CTK	MATK	44	500
DAPK1	DAPK1	93	500
DAPK2	DAPK2	90	500
DAPK3	DAPK3	80	500
DCAMKL1	DCLK1	68	500
DCAMKL2	DCLK2	80	500
DCAMKL3	DCLK3	78	500
DDR1	DDR1	72	500
DDR2	DDR2	82	500
DLK	MAP3K12	79	500
DMPK	DMPK	94	500

DMPK2	CDC42BPG	75	500
DRAK1	STK17A	88	500
DRAK2	STK17B	91	500
DYRK1A	DYRK1A	84	500
DYRK1B	DYRK1B	100	500
DYRK2	DYRK2	80	500
EGFR	EGFR	6.2	500
EGFR(E746-A750del)	EGFR	81	500
EGFR(G719C)	EGFR	12	500
EGFR(G719S)	EGFR	8.9	500
EGFR(L747-E749del, A750P)	EGFR	66	500
EGFR(L747-S752del, P753S)	EGFR	69	500
EGFR(L747-T751del,Sins)	EGFR	51	500
EGFR(L858R)	EGFR	66	500
EGFR(L858R,T790M)	EGFR	92	500
EGFR(L861Q)	EGFR	44	500
EGFR(S752-I759del)	EGFR	72	500
EGFR(T790M)	EGFR	13	500
EIF2AK1	EIF2AK1	73	500
EPHA1	EPHA1	69	500
EPHA2	EPHA2	83	500
EPHA3	EPHA3	94	500
EPHA4	EPHA4	89	500
EPHA5	EPHA5	93	500
EPHA6	EPHA6	84	500
EPHA7	EPHA7	67	500
EPHA8	EPHA8	72	500
EPHB1	EPHB1	93	500
EPHB2	EPHB2	84	500
EPHB3	EPHB3	96	500
EPHB4	EPHB4	74	500
EPHB6	EPHB6	3	500
ERBB2	ERBB2	0	500
ERBB3	ERBB3	0	500
ERBB4	ERBB4	11	500
ERK1	MAPK3	89	500
ERK2	MAPK1	94	500
ERK3	MAPK6	97	500
ERK4	MAPK4	65	500
ERK5	MAPK7	55	500

ERK8	MAPK15	79	500
ERN1	ERN1	57	500
FAK	PTK2	76	500
FER	FER	91	500
FES	FES	78	500
FGFR1	FGFR1	57	500
FGFR2	FGFR2	53	500
FGFR3	FGFR3	75	500
FGFR3(G697C)	FGFR3	72	500
FGFR4	FGFR4	98	500
FGR	FGR	3.2	500
FLT1	FLT1	53	500
FLT3	FLT3	7	500
FLT3(D835H)	FLT3	32	500
FLT3(D835V)	FLT3	5.4	500
FLT3(D835Y)	FLT3	37	500
FLT3(ITD)	FLT3	22	500
FLT3(ITD,D835V)	FLT3	61	500
FLT3(ITD,F691L)	FLT3	100	500
FLT3(K663Q)	FLT3	24	500
FLT3(N841I)	FLT3	6.1	500
FLT3(R834Q)	FLT3	49	500
FLT3-autoinhibited	FLT3	53	500
FLT4	FLT4	69	500
FRK	FRK	2.7	500
FYN	FYN	2.7	500
GAK	GAK	77	500
GCN2(Kin.Dom.2,S808G)	EIF2AK4	59	500
GRK1	GRK1	86	500
GRK2	ADRBK1	97	500
GRK3	ADRBK2	93	500
GRK4	GRK4	100	500
GRK7	GRK7	63	500
GSK3A	GSK3A	92	500
GSK3B	GSK3B	73	500
HASPIN	GSG2	95	500
HCK	HCK	1.8	500
HIPK1	HIPK1	71	500
HIPK2	HIPK2	96	500
HIPK3	HIPK3	98	500

HIPK4	HIPK4	84	500
HPK1	MAP4K1	100	500
HUNK	HUNK	87	500
ICK	ICK	88	500
IGF1R	IGF1R	96	500
IKK-alpha	CHUK	87	500
IKK-beta	IKBKB	70	500
IKK-epsilon	IKBKE	67	500
INSR	INSR	91	500
INSRR	INSRR	96	500
IRAK1	IRAK1	85	500
IRAK3	IRAK3	80	500
IRAK4	IRAK4	94	500
ITK	ITK	72	500
JAK1(JH1domain-catalytic)	JAK1	98	500
JAK1(JH2domain-pseudokinase)	JAK1	75	500
JAK2(JH1domain-catalytic)	JAK2	72	500
JAK3(JH1domain-catalytic)	JAK3	100	500
JNK1	MAPK8	79	500
JNK2	MAPK9	89	500
JNK3	MAPK10	91	500
KIT	KIT	9.9	500
KIT(A829P)	KIT	37	500
KIT(D816H)	KIT	77	500
KIT(D816V)	KIT	76	500
KIT(L576P)	KIT	4.5	500
KIT(V559D)	KIT	3.7	500
KIT(V559D,T670I)	KIT	91	500
KIT(V559D,V654A)	KIT	69	500
KIT-autoinhibited	KIT	59	500
LATS1	LATS1	65	500
LATS2	LATS2	46	500
LCK	LCK	1.2	500
LIMK1	LIMK1	29	500
LIMK2	LIMK2	75	500
LKB1	STK11	90	500
LOK	STK10	46	500
LRRK2	LRRK2	100	500
LRRK2(G2019S)	LRRK2	69	500
LTK	LTK	58	500

LYN	LYN	6.1	500
LZK	MAP3K13	90	500
MAK	MAK	100	500
MAP3K1	MAP3K1	67	500
MAP3K15	MAP3K15	69	500
MAP3K2	MAP3K2	12	500
MAP3K3	MAP3K3	30	500
MAP3K4	MAP3K4	81	500
MAP4K2	MAP4K2	95	500
MAP4K3	MAP4K3	89	500
MAP4K4	MAP4K4	100	500
MAP4K5	MAP4K5	88	500
MAPKAPK2	MAPKAPK2	100	500
MAPKAPK5	MAPKAPK5	99	500
MARK1	MARK1	91	500
MARK2	MARK2	94	500
MARK3	MARK3	88	500
MARK4	MARK4	100	500
MAST1	MAST1	92	500
MEK1	MAP2K1	1	500
MEK2	MAP2K2	1.6	500
MEK3	MAP2K3	83	500
MEK4	MAP2K4	88	500
MEK5	MAP2K5	0	500
MEK6	MAP2K6	91	500
MELK	MELK	75	500
MERTK	MERTK	66	500
MET	MET	70	500
MET(M1250T)	MET	100	500
MET(Y1235D)	MET	83	500
MINK	MINK1	77	500
MKK7	MAP2K7	95	500
MKNK1	MKNK1	87	500
MKNK2	MKNK2	67	500
MLCK	MYLK3	79	500
MLK1	MAP3K9	90	500
MLK2	MAP3K10	90	500
MLK3	MAP3K11	78	500
MRCKA	CDC42BPA	73	500
MRCKB	CDC42BPB	91	500

MST1	STK4	72	500
MST1R	MST1R	94	500
MST2	STK3	79	500
MST3	STK24	97	500
MST4	MST4	100	500
MTOR	MTOR	51	500
MUSK	MUSK	100	500
MYLK	MYLK	53	500
MYLK2	MYLK2	92	500
MYLK4	MYLK4	85	500
MYO3A	MYO3A	74	500
MYO3B	MYO3B	63	500
NDR1	STK38	74	500
NDR2	STK38L	77	500
NEK1	NEK1	95	500
NEK10	NEK10	100	500
NEK11	NEK11	97	500
NEK2	NEK2	100	500
NEK3	NEK3	73	500
NEK4	NEK4	98	500
NEK5	NEK5	82	500
NEK6	NEK6	76	500
NEK7	NEK7	82	500
NEK9	NEK9	42	500
NIK	MAP3K14	96	500
NIM1	MGC42105	90	500
NLK	NLK	77	500
OSR1	OXSR1	94	500
p38-alpha	MAPK14	91	500
p38-beta	MAPK11	91	500
p38-delta	MAPK13	100	500
p38-gamma	MAPK12	62	500
PAK1	PAK1	82	500
PAK2	PAK2	75	500
PAK3	PAK3	73	500
PAK4	PAK4	83	500
PAK6	PAK6	79	500
PAK7	PAK7	100	500
PCTK1	CDK16	100	500
PCTK2	CDK17	57	500

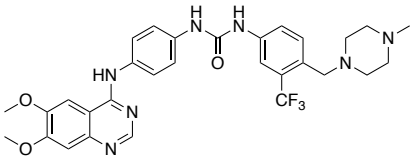
PCTK3	CDK18	54	500
PDGFRA	PDGFRA	20	500
PDGFRB	PDGFRB	7.5	500
PDPK1	PDPK1	79	500
PFCDPK1(P.falciparum)	CDPK1	0	500
PFPK5(P.falciparum)	MAL13P1.279	95	500
PFTAIRE2	CDK15	98	500
PFTK1	CDK14	71	500
PHKG1	PHKG1	84	500
PHKG2	PHKG2	79	500
PIK3C2B	PIK3C2B	99	500
PIK3C2G	PIK3C2G	87	500
PIK3CA	PIK3CA	100	500
PIK3CA(C420R)	PIK3CA	91	500
PIK3CA(E542K)	PIK3CA	100	500
PIK3CA(E545A)	PIK3CA	85	500
PIK3CA(E545K)	PIK3CA	84	500
PIK3CA(H1047L)	PIK3CA	96	500
PIK3CA(H1047Y)	PIK3CA	63	500
PIK3CA(I800L)	PIK3CA	59	500
PIK3CA(M1043I)	PIK3CA	79	500
PIK3CA(Q546K)	PIK3CA	95	500
PIK3CB	PIK3CB	90	500
PIK3CD	PIK3CD	83	500
PIK3CG	PIK3CG	95	500
PIK4CB	PI4KB	100	500
PIKFYVE	PIKFYVE	89	500
PIM1	PIM1	74	500
PIM2	PIM2	81	500
PIM3	PIM3	75	500
PIP5K1A	PIP5K1A	74	500
PIP5K1C	PIP5K1C	93	500
PIP5K2B	PIP4K2B	100	500
PIP5K2C	PIP4K2C	5.8	500
PKAC-alpha	PRKACA	77	500
PKAC-beta	PRKACB	88	500
PKMYT1	PKMYT1	98	500
PKN1	PKN1	77	500
PKN2	PKN2	100	500
PKNB(M.tuberculosis)	pknB	58	500

PLK1	PLK1	92	500
PLK2	PLK2	91	500
PLK3	PLK3	87	500
PLK4	PLK4	83	500
PRKCD	PRKCD	89	500
PRKCE	PRKCE	83	500
PRKCH	PRKCH	97	500
PRKCI	PRKCI	53	500
PRKCQ	PRKCQ	88	500
PRKD1	PRKD1	40	500
PRKD2	PRKD2	75	500
PRKD3	PRKD3	76	500
PRKG1	PRKG1	84	500
PRKG2	PRKG2	76	500
PRKR	EIF2AK2	94	500
PRKX	PRKX	100	500
PRP4	PRPF4B	96	500
PYK2	PTK2B	94	500
QSK	KIAA0999	100	500
RAF1	RAF1	85	500
RET	RET	1	500
RET(M918T)	RET	3.6	500
RET(V804L)	RET	70	500
RET(V804M)	RET	63	500
RIOK1	RIOK1	64	500
RIOK2	RIOK2	93	500
RIOK3	RIOK3	91	500
RIPK1	RIPK1	89	500
RIPK2	RIPK2	2.6	500
RIPK4	RIPK4	91	500
RIPK5	DSTYK	48	500
ROCK1	ROCK1	90	500
ROCK2	ROCK2	68	500
ROS1	ROS1	74	500
RPS6KA4(Kin.Dom.1-N-terminal)	RPS6KA4	81	500
RPS6KA4(Kin.Dom.2-C-terminal)	RPS6KA4	98	500
RPS6KA5(Kin.Dom.1-N-terminal)	RPS6KA5	84	500
RPS6KA5(Kin.Dom.2-C-terminal)	RPS6KA5	74	500
RSK1(Kin.Dom.1-N-terminal)	RPS6KA1	83	500
RSK1(Kin.Dom.2-C-terminal)	RPS6KA1	96	500

RSK2(Kin.Dom.1-N-terminal)	RPS6KA3	77	500
RSK2(Kin.Dom.2-C-terminal)	RPS6KA3	94	500
RSK3(Kin.Dom.1-N-terminal)	RPS6KA2	90	500
RSK3(Kin.Dom.2-C-terminal)	RPS6KA2	62	500
RSK4(Kin.Dom.1-N-terminal)	RPS6KA6	100	500
RSK4(Kin.Dom.2-C-terminal)	RPS6KA6	93	500
S6K1	RPS6KB1	89	500
SBK1	SBK1	98	500
SGK	SGK1	94	500
SgK110	SgK110	64	500
SGK2	SGK2	83	500
SGK3	SGK3	100	500
SIK	SIK1	59	500
SIK2	SIK2	91	500
SLK	SLK	72	500
SNARK	NUAK2	68	500
SNRK	SNRK	73	500
SRC	SRC	0.2	500
SRMS	SRMS	0	500
SRPK1	SRPK1	55	500
SRPK2	SRPK2	100	500
SRPK3	SRPK3	100	500
STK16	STK16	93	500
STK33	STK33	80	500
STK35	STK35	23	500
STK36	STK36	71	500
STK39	STK39	93	500
SYK	SYK	99	500
TAK1	MAP3K7	60	500
TAOK1	TAOK1	100	500
TAOK2	TAOK2	69	500
TAOK3	TAOK3	100	500
TBK1	TBK1	60	500
TEC	TEC	9.6	500
TESK1	TESK1	95	500
TGFBR1	TGFBR1	87	500
TGFBR2	TGFBR2	74	500
TIE1	TIE1	74	500
TIE2	TEK	78	500
TLK1	TLK1	100	500

TLK2	TLK2	82	500
TNIK	TNIK	99	500
TNK1	TNK1	1.6	500
TNK2	TNK2	9.8	500
TNNI3K	TNNI3K	46	500
TRKA	NTRK1	41	500
TRKB	NTRK2	100	500
TRKC	NTRK3	91	500
TRPM6	TRPM6	80	500
TSSK1B	TSSK1B	90	500
TSSK3	TSSK3	89	500
TTK	TTK	44	500
TXK	TXK	18	500
TYK2(JH1domain-catalytic)	TYK2	94	500
TYK2(JH2domain-pseudokinase)	TYK2	90	500
TYRO3	TYRO3	31	500
ULK1	ULK1	80	500
ULK2	ULK2	85	500
ULK3	ULK3	80	500
VEGFR2	KDR	75	500
VPS34	PIK3C3	100	500
VRK2	VRK2	88	500
WEE1	WEE1	92	500
WEE2	WEE2	81	500
WNK1	WNK1	77	500
WNK2	WNK2	100	500
WNK3	WNK3	86	500
WNK4	WNK4	85	500
YANK1	STK32A	57	500
YANK2	STK32B	74	500
YANK3	STK32C	72	500
YES	YES1	1.3	500
YSK1	STK25	100	500
YSK4	MAP3K19	90	500
ZAK	ZAK	39	500
ZAP70	ZAP70	97	500

Compound 10:



DiscoveRx Gene Symbol	Entrez Gene Symbol	Percent Control	Compound Concentration (nM)
AAK1	AAK1	83	500
ABL1(E255K)-phosphorylated	ABL1	33	500
ABL1(F317I)-nonphosphorylated	ABL1	15	500
ABL1(F317I)-phosphorylated	ABL1	42	500
ABL1(F317L)-nonphosphorylated	ABL1	56	500
ABL1(F317L)-phosphorylated	ABL1	15	500
ABL1(H396P)-nonphosphorylated	ABL1	7.9	500
ABL1(H396P)-phosphorylated	ABL1	43	500
ABL1(M351T)-phosphorylated	ABL1	29	500
ABL1(Q252H)-nonphosphorylated	ABL1	6.9	500
ABL1(Q252H)-phosphorylated	ABL1	40	500
ABL1(T315I)-nonphosphorylated	ABL1	3.9	500
ABL1(T315I)-phosphorylated	ABL1	2	500
ABL1(Y253F)-phosphorylated	ABL1	16	500
ABL1-nonphosphorylated	ABL1	0.95	500
ABL1-phosphorylated	ABL1	27	500
ABL2	ABL2	30	500
ACVR1	ACVR1	100	500
ACVR1B	ACVR1B	98	500
ACVR2A	ACVR2A	100	500
ACVR2B	ACVR2B	100	500
ACVRL1	ACVRL1	86	500
ADCK3	CABC1	81	500
ADCK4	ADCK4	100	500
AKT1	AKT1	100	500
AKT2	AKT2	77	500
AKT3	AKT3	88	500
ALK	ALK	72	500
ALK(C1156Y)	ALK	100	500
ALK(L1196M)	ALK	100	500
AMPK-alpha1	PRKAA1	97	500
AMPK-alpha2	PRKAA2	97	500

ANKK1	ANKK1	8.3	500
ARK5	NUAK1	80	500
ASK1	MAP3K5	100	500
ASK2	MAP3K6	80	500
AURKA	AURKA	100	500
AURKB	AURKB	84	500
AURKC	AURKC	74	500
AXL	AXL	17	500
BIKE	BMP2K	100	500
BLK	BLK	0.5	500
BMPR1A	BMPR1A	90	500
BMPR1B	BMPR1B	100	500
BMPR2	BMPR2	100	500
BMX	BMX	94	500
BRAF	BRAF	91	500
BRAF(V600E)	BRAF	67	500
BRK	PTK6	100	500
BRSK1	BRSK1	100	500
BRSK2	BRSK2	94	500
BTK	BTK	86	500
BUB1	BUB1	100	500
CAMK1	CAMK1	77	500
CAMK1B	PNCK	82	500
CAMK1D	CAMK1D	88	500
CAMK1G	CAMK1G	86	500
CAMK2A	CAMK2A	100	500
CAMK2B	CAMK2B	100	500
CAMK2D	CAMK2D	89	500
CAMK2G	CAMK2G	86	500
CAMK4	CAMK4	99	500
CAMKK1	CAMKK1	33	500
CAMKK2	CAMKK2	28	500
CASK	CASK	95	500
CDC2L1	CDK11B	94	500
CDC2L2	CDC2L2	94	500
CDC2L5	CDK13	27	500
CDK11	CDK19	8.3	500
CDK2	CDK2	98	500
CDK3	CDK3	99	500
CDK4	CDK4	100	500

CDK4-cyclinD1	CDK4	100	500
CDK4-cyclinD3	CDK4	99	500
CDK5	CDK5	100	500
CDK7	CDK7	11	500
CDK8	CDK8	29	500
CDK9	CDK9	97	500
CDKL1	CDKL1	56	500
CDKL2	CDKL2	0	500
CDKL3	CDKL3	13	500
CDKL5	CDKL5	100	500
CHEK1	CHEK1	100	500
CHEK2	CHEK2	15	500
CIT	CIT	88	500
CLK1	CLK1	26	500
CLK2	CLK2	89	500
CLK3	CLK3	88	500
CLK4	CLK4	16	500
CSF1R	CSF1R	0.3	500
CSF1R-autoinhibited	CSF1R	100	500
CSK	CSK	51	500
CSNK1A1	CSNK1A1	74	500
CSNK1A1L	CSNK1A1L	99	500
CSNK1D	CSNK1D	100	500
CSNK1E	CSNK1E	75	500
CSNK1G1	CSNK1G1	98	500
CSNK1G2	CSNK1G2	100	500
CSNK1G3	CSNK1G3	91	500
CSNK2A1	CSNK2A1	97	500
CSNK2A2	CSNK2A2	100	500
CTK	MATK	58	500
DAPK1	DAPK1	96	500
DAPK2	DAPK2	100	500
DAPK3	DAPK3	89	500
DCAMKL1	DCLK1	69	500
DCAMKL2	DCLK2	94	500
DCAMKL3	DCLK3	94	500
DDR1	DDR1	0	500
DDR2	DDR2	0	500
DLK	MAP3K12	44	500
DMPK	DMPK	100	500

DMPK2	CDC42BPG	100	500
DRAK1	STK17A	100	500
DRAK2	STK17B	100	500
DYRK1A	DYRK1A	95	500
DYRK1B	DYRK1B	98	500
DYRK2	DYRK2	83	500
EGFR	EGFR	48	500
EGFR(E746-A750del)	EGFR	84	500
EGFR(G719C)	EGFR	42	500
EGFR(G719S)	EGFR	33	500
EGFR(L747-E749del, A750P)	EGFR	30	500
EGFR(L747-S752del, P753S)	EGFR	66	500
EGFR(L747-T751del,Sins)	EGFR	62	500
EGFR(L858R)	EGFR	21	500
EGFR(L858R,T790M)	EGFR	37	500
EGFR(L861Q)	EGFR	19	500
EGFR(S752-I759del)	EGFR	60	500
EGFR(T790M)	EGFR	56	500
EIF2AK1	EIF2AK1	100	500
EPHA1	EPHA1	99	500
EPHA2	EPHA2	62	500
EPHA3	EPHA3	51	500
EPHA4	EPHA4	84	500
EPHA5	EPHA5	97	500
EPHA6	EPHA6	56	500
EPHA7	EPHA7	69	500
EPHA8	EPHA8	6.5	500
EPHB1	EPHB1	100	500
EPHB2	EPHB2	45	500
EPHB3	EPHB3	94	500
EPHB4	EPHB4	100	500
EPHB6	EPHB6	56	500
ERBB2	ERBB2	79	500
ERBB3	ERBB3	100	500
ERBB4	ERBB4	77	500
ERK1	MAPK3	100	500
ERK2	MAPK1	100	500
ERK3	MAPK6	93	500
ERK4	MAPK4	88	500
ERK5	MAPK7	86	500

ERK8	MAPK15	63	500
ERN1	ERN1	69	500
FAK	PTK2	100	500
FER	FER	61	500
FES	FES	100	500
FGFR1	FGFR1	78	500
FGFR2	FGFR2	80	500
FGFR3	FGFR3	91	500
FGFR3(G697C)	FGFR3	92	500
FGFR4	FGFR4	86	500
FGR	FGR	32	500
FLT1	FLT1	13	500
FLT3	FLT3	1.2	500
FLT3(D835H)	FLT3	1.3	500
FLT3(D835V)	FLT3	0.1	500
FLT3(D835Y)	FLT3	35	500
FLT3(ITD)	FLT3	3.5	500
FLT3(ITD,D835V)	FLT3	43	500
FLT3(ITD,F691L)	FLT3	4.7	500
FLT3(K663Q)	FLT3	1.8	500
FLT3(N841I)	FLT3	0.8	500
FLT3(R834Q)	FLT3	3.3	500
FLT3-autoinhibited	FLT3	66	500
FLT4	FLT4	1.8	500
FRK	FRK	11	500
FYN	FYN	38	500
GAK	GAK	99	500
GCN2(Kin.Dom.2,S808G)	EIF2AK4	100	500
GRK1	GRK1	83	500
GRK2	ADRBK1	100	500
GRK3	ADRBK2	95	500
GRK4	GRK4	100	500
GRK7	GRK7	97	500
GSK3A	GSK3A	100	500
GSK3B	GSK3B	99	500
HASPIN	GSG2	100	500
HCK	HCK	14	500
HIPK1	HIPK1	33	500
HIPK2	HIPK2	47	500
HIPK3	HIPK3	46	500

HIPK4	HIPK4	2.5	500
HPK1	MAP4K1	42	500
HUNK	HUNK	99	500
ICK	ICK	89	500
IGF1R	IGF1R	97	500
IKK-alpha	CHUK	84	500
IKK-beta	IKBKB	80	500
IKK-epsilon	IKBKE	99	500
INSR	INSR	97	500
INSRR	INSRR	100	500
IRAK1	IRAK1	100	500
IRAK3	IRAK3	100	500
IRAK4	IRAK4	90	500
ITK	ITK	81	500
JAK1(JH1domain-catalytic)	JAK1	55	500
JAK1(JH2domain-pseudokinase)	JAK1	98	500
JAK2(JH1domain-catalytic)	JAK2	64	500
JAK3(JH1domain-catalytic)	JAK3	48	500
JNK1	MAPK8	52	500
JNK2	MAPK9	40	500
JNK3	MAPK10	89	500
KIT	KIT	3	500
KIT(A829P)	KIT	6.7	500
KIT(D816H)	KIT	7	500
KIT(D816V)	KIT	16	500
KIT(L576P)	KIT	0.5	500
KIT(V559D)	KIT	0.6	500
KIT(V559D,T670I)	KIT	2.7	500
KIT(V559D,V654A)	KIT	4	500
KIT-autoinhibited	KIT	92	500
LATS1	LATS1	100	500
LATS2	LATS2	100	500
LCK	LCK	2.9	500
LIMK1	LIMK1	93	500
LIMK2	LIMK2	96	500
LKB1	STK11	100	500
LOK	STK10	0	500
LRRK2	LRRK2	100	500
LRRK2(G2019S)	LRRK2	100	500
LTK	LTK	43	500

LYN	LYN	6.4	500
LZK	MAP3K13	98	500
MAK	MAK	89	500
MAP3K1	MAP3K1	74	500
MAP3K15	MAP3K15	82	500
MAP3K2	MAP3K2	83	500
MAP3K3	MAP3K3	98	500
MAP3K4	MAP3K4	82	500
MAP4K2	MAP4K2	73	500
MAP4K3	MAP4K3	73	500
MAP4K4	MAP4K4	93	500
MAP4K5	MAP4K5	35	500
MAPKAPK2	MAPKAPK2	100	500
MAPKAPK5	MAPKAPK5	99	500
MARK1	MARK1	84	500
MARK2	MARK2	100	500
MARK3	MARK3	95	500
MARK4	MARK4	80	500
MAST1	MAST1	100	500
MEK1	MAP2K1	95	500
MEK2	MAP2K2	87	500
MEK3	MAP2K3	97	500
MEK4	MAP2K4	75	500
MEK5	MAP2K5	7.5	500
MEK6	MAP2K6	98	500
MELK	MELK	87	500
MERTK	MERTK	15	500
MET	MET	100	500
MET(M1250T)	MET	87	500
MET(Y1235D)	MET	99	500
MINK	MINK1	60	500
MKK7	MAP2K7	100	500
MKNK1	MKNK1	22	500
MKNK2	MKNK2	1.1	500
MLCK	MYLK3	99	500
MLK1	MAP3K9	100	500
MLK2	MAP3K10	86	500
MLK3	MAP3K11	86	500
MRCKA	CDC42BPA	100	500
MRCKB	CDC42BPB	100	500

MST1	STK4	79	500
MST1R	MST1R	92	500
MST2	STK3	94	500
MST3	STK24	92	500
MST4	MST4	88	500
MTOR	MTOR	100	500
MUSK	MUSK	13	500
MYLK	MYLK	80	500
MYLK2	MYLK2	86	500
MYLK4	MYLK4	71	500
MYO3A	MYO3A	66	500
MYO3B	MYO3B	80	500
NDR1	STK38	74	500
NDR2	STK38L	97	500
NEK1	NEK1	79	500
NEK10	NEK10	100	500
NEK11	NEK11	100	500
NEK2	NEK2	95	500
NEK3	NEK3	83	500
NEK4	NEK4	57	500
NEK5	NEK5	100	500
NEK6	NEK6	100	500
NEK7	NEK7	99	500
NEK9	NEK9	95	500
NIK	MAP3K14	71	500
NIM1	MGC42105	100	500
NLK	NLK	87	500
OSR1	OXSR1	98	500
p38-alpha	MAPK14	80	500
p38-beta	MAPK11	92	500
p38-delta	MAPK13	99	500
p38-gamma	MAPK12	29	500
PAK1	PAK1	97	500
PAK2	PAK2	99	500
PAK3	PAK3	100	500
PAK4	PAK4	89	500
PAK6	PAK6	89	500
PAK7	PAK7	100	500
PCTK1	CDK16	96	500
PCTK2	CDK17	100	500

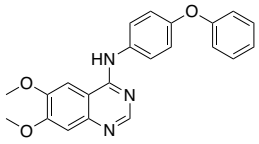
PCTK3	CDK18	65	500
PDGFRA	PDGFRA	1.2	500
PDGFRB	PDGFRB	0	500
PDPK1	PDPK1	97	500
PFCDPK1(P.falciparum)	CDPK1	45	500
PFPK5(P.falciparum)	MAL13P1.279	100	500
PFTAIRE2	CDK15	83	500
PFTK1	CDK14	58	500
PHKG1	PHKG1	98	500
PHKG2	PHKG2	96	500
PIK3C2B	PIK3C2B	100	500
PIK3C2G	PIK3C2G	89	500
PIK3CA	PIK3CA	100	500
PIK3CA(C420R)	PIK3CA	81	500
PIK3CA(E542K)	PIK3CA	96	500
PIK3CA(E545A)	PIK3CA	83	500
PIK3CA(E545K)	PIK3CA	67	500
PIK3CA(H1047L)	PIK3CA	100	500
PIK3CA(H1047Y)	PIK3CA	90	500
PIK3CA(I800L)	PIK3CA	54	500
PIK3CA(M1043I)	PIK3CA	98	500
PIK3CA(Q546K)	PIK3CA	95	500
PIK3CB	PIK3CB	100	500
PIK3CD	PIK3CD	91	500
PIK3CG	PIK3CG	100	500
PIK4CB	PI4KB	89	500
PIKFYVE	PIKFYVE	98	500
PIM1	PIM1	85	500
PIM2	PIM2	100	500
PIM3	PIM3	98	500
PIP5K1A	PIP5K1A	100	500
PIP5K1C	PIP5K1C	98	500
PIP5K2B	PIP4K2B	97	500
PIP5K2C	PIP4K2C	98	500
PKAC-alpha	PRKACA	100	500
PKAC-beta	PRKACB	91	500
PKMYT1	PKMYT1	99	500
PKN1	PKN1	75	500
PKN2	PKN2	100	500
PKNB(M.tuberculosis)	pknB	100	500

PLK1	PLK1	100	500
PLK2	PLK2	100	500
PLK3	PLK3	92	500
PLK4	PLK4	100	500
PRKCD	PRKCD	88	500
PRKCE	PRKCE	98	500
PRKCH	PRKCH	100	500
PRKCI	PRKCI	98	500
PRKCQ	PRKCQ	94	500
PRKD1	PRKD1	97	500
PRKD2	PRKD2	100	500
PRKD3	PRKD3	100	500
PRKG1	PRKG1	93	500
PRKG2	PRKG2	100	500
PRKR	EIF2AK2	90	500
PRKX	PRKX	97	500
PRP4	PRPF4B	78	500
PYK2	PTK2B	17	500
QSK	KIAA0999	77	500
RAF1	RAF1	93	500
RET	RET	0.1	500
RET(M918T)	RET	0.25	500
RET(V804L)	RET	0.35	500
RET(V804M)	RET	0.15	500
RIOK1	RIOK1	97	500
RIOK2	RIOK2	100	500
RIOK3	RIOK3	86	500
RIPK1	RIPK1	60	500
RIPK2	RIPK2	83	500
RIPK4	RIPK4	45	500
RIPK5	DSTYK	96	500
ROCK1	ROCK1	100	500
ROCK2	ROCK2	27	500
ROS1	ROS1	75	500
RPS6KA4(Kin.Dom.1-N-terminal)	RPS6KA4	87	500
RPS6KA4(Kin.Dom.2-C-terminal)	RPS6KA4	100	500
RPS6KA5(Kin.Dom.1-N-terminal)	RPS6KA5	86	500
RPS6KA5(Kin.Dom.2-C-terminal)	RPS6KA5	100	500
RSK1(Kin.Dom.1-N-terminal)	RPS6KA1	80	500
RSK1(Kin.Dom.2-C-terminal)	RPS6KA1	94	500

RSK2(Kin.Dom.1-N-terminal)	RPS6KA3	66	500
RSK2(Kin.Dom.2-C-terminal)	RPS6KA3	100	500
RSK3(Kin.Dom.1-N-terminal)	RPS6KA2	100	500
RSK3(Kin.Dom.2-C-terminal)	RPS6KA2	98	500
RSK4(Kin.Dom.1-N-terminal)	RPS6KA6	73	500
RSK4(Kin.Dom.2-C-terminal)	RPS6KA6	78	500
S6K1	RPS6KB1	12	500
SBK1	SBK1	91	500
SGK	SGK1	100	500
SgK110	SgK110	97	500
SGK2	SGK2	100	500
SGK3	SGK3	99	500
SIK	SIK1	100	500
SIK2	SIK2	100	500
SLK	SLK	2.5	500
SNARK	NUAK2	100	500
SNRK	SNRK	100	500
SRC	SRC	52	500
SRMS	SRMS	91	500
SRPK1	SRPK1	97	500
SRPK2	SRPK2	78	500
SRPK3	SRPK3	100	500
STK16	STK16	91	500
STK33	STK33	69	500
STK35	STK35	78	500
STK36	STK36	100	500
STK39	STK39	100	500
SYK	SYK	63	500
TAK1	MAP3K7	3	500
TAOK1	TAOK1	98	500
TAOK2	TAOK2	62	500
TAOK3	TAOK3	100	500
TBK1	TBK1	64	500
TEC	TEC	100	500
TESK1	TESK1	80	500
TGFBR1	TGFBR1	99	500
TGFBR2	TGFBR2	100	500
TIE1	TIE1	0	500
TIE2	TEK	1.2	500
TLK1	TLK1	95	500

TLK2	TLK2	100	500
TNIK	TNIK	78	500
TNK1	TNK1	43	500
TNK2	TNK2	82	500
TNNI3K	TNNI3K	42	500
TRKA	NTRK1	0.6	500
TRKB	NTRK2	0.55	500
TRKC	NTRK3	1	500
TRPM6	TRPM6	85	500
TSSK1B	TSSK1B	75	500
TSSK3	TSSK3	100	500
TTK	TTK	66	500
TXK	TXK	100	500
TYK2(JH1domain-catalytic)	TYK2	100	500
TYK2(JH2domain-pseudokinase)	TYK2	100	500
TYRO3	TYRO3	92	500
ULK1	ULK1	100	500
ULK2	ULK2	100	500
ULK3	ULK3	62	500
VEGFR2	KDR	3	500
VPS34	PIK3C3	100	500
VRK2	VRK2	93	500
WEE1	WEE1	100	500
WEE2	WEE2	90	500
WNK1	WNK1	100	500
WNK2	WNK2	100	500
WNK3	WNK3	100	500
WNK4	WNK4	100	500
YANK1	STK32A	100	500
YANK2	STK32B	100	500
YANK3	STK32C	83	500
YES	YES1	83	500
YSK1	STK25	76	500
YSK4	MAP3K19	40	500
ZAK	ZAK	45	500
ZAP70	ZAP70	100	500
AAK1	AAK1	100	500

Compound 11:



DiscoveRx Gene Symbol	Entrez Gene Symbol	Percent Control	Compound Concentration (nM)
AAK1	AAK1	94	500
ABL1(E255K)-phosphorylated	ABL1	38	500
ABL1(F317I)-nonphosphorylated	ABL1	87	500
ABL1(F317I)-phosphorylated	ABL1	42	500
ABL1(F317L)-nonphosphorylated	ABL1	57	500
ABL1(F317L)-phosphorylated	ABL1	6.6	500
ABL1(H396P)-nonphosphorylated	ABL1	40	500
ABL1(H396P)-phosphorylated	ABL1	46	500
ABL1(M351T)-phosphorylated	ABL1	24	500
ABL1(Q252H)-nonphosphorylated	ABL1	37	500
ABL1(Q252H)-phosphorylated	ABL1	45	500
ABL1(T315I)-nonphosphorylated	ABL1	100	500
ABL1(T315I)-phosphorylated	ABL1	57	500
ABL1(Y253F)-phosphorylated	ABL1	37	500
ABL1-nonphosphorylated	ABL1	33	500
ABL1-phosphorylated	ABL1	40	500
ABL2	ABL2	86	500
ACVR1	ACVR1	100	500
ACVR1B	ACVR1B	81	500
ACVR2A	ACVR2A	100	500
ACVR2B	ACVR2B	90	500
ACVRL1	ACVRL1	84	500
ADCK3	CABC1	78	500
ADCK4	ADCK4	93	500
AKT1	AKT1	100	500
AKT2	AKT2	80	500
AKT3	AKT3	90	500
ALK	ALK	90	500
ALK(C1156Y)	ALK	100	500
ALK(L1196M)	ALK	100	500
AMPK-alpha1	PRKAA1	100	500
AMPK-alpha2	PRKAA2	91	500

ANKK1	ANKK1	89	500
ARK5	NUAK1	83	500
ASK1	MAP3K5	100	500
ASK2	MAP3K6	65	500
AURKA	AURKA	100	500
AURKB	AURKB	75	500
AURKC	AURKC	74	500
AXL	AXL	71	500
BIKE	BMP2K	100	500
BLK	BLK	0.65	500
BMPR1A	BMPR1A	76	500
BMPR1B	BMPR1B	99	500
BMPR2	BMPR2	100	500
BMX	BMX	81	500
BRAF	BRAF	98	500
BRAF(V600E)	BRAF	83	500
BRK	PTK6	16	500
BRSK1	BRSK1	100	500
BRSK2	BRSK2	98	500
BTK	BTK	13	500
BUB1	BUB1	100	500
CAMK1	CAMK1	74	500
CAMK1B	PNCK	92	500
CAMK1D	CAMK1D	89	500
CAMK1G	CAMK1G	95	500
CAMK2A	CAMK2A	91	500
CAMK2B	CAMK2B	94	500
CAMK2D	CAMK2D	95	500
CAMK2G	CAMK2G	94	500
CAMK4	CAMK4	100	500
CAMKK1	CAMKK1	91	500
CAMKK2	CAMKK2	88	500
CASK	CASK	82	500
CDC2L1	CDK11B	94	500
CDC2L2	CDC2L2	100	500
CDC2L5	CDK13	100	500
CDK11	CDK19	90	500
CDK2	CDK2	94	500
CDK3	CDK3	97	500
CDK4	CDK4	100	500

CDK4-cyclinD1	CDK4	99	500
CDK4-cyclinD3	CDK4	98	500
CDK5	CDK5	100	500
CDK7	CDK7	88	500
CDK8	CDK8	88	500
CDK9	CDK9	95	500
CDKL1	CDKL1	77	500
CDKL2	CDKL2	100	500
CDKL3	CDKL3	84	500
CDKL5	CDKL5	90	500
CHEK1	CHEK1	92	500
CHEK2	CHEK2	8.8	500
CIT	CIT	97	500
CLK1	CLK1	100	500
CLK2	CLK2	95	500
CLK3	CLK3	81	500
CLK4	CLK4	90	500
CSF1R	CSF1R	72	500
CSF1R-autoinhibited	CSF1R	100	500
CSK	CSK	2.4	500
CSNK1A1	CSNK1A1	73	500
CSNK1A1L	CSNK1A1L	96	500
CSNK1D	CSNK1D	93	500
CSNK1E	CSNK1E	74	500
CSNK1G1	CSNK1G1	79	500
CSNK1G2	CSNK1G2	95	500
CSNK1G3	CSNK1G3	100	500
CSNK2A1	CSNK2A1	96	500
CSNK2A2	CSNK2A2	100	500
CTK	MATK	31	500
DAPK1	DAPK1	96	500
DAPK2	DAPK2	92	500
DAPK3	DAPK3	90	500
DCAMKL1	DCLK1	62	500
DCAMKL2	DCLK2	100	500
DCAMKL3	DCLK3	89	500
DDR1	DDR1	58	500
DDR2	DDR2	93	500
DLK	MAP3K12	94	500
DMPK	DMPK	100	500

DMPK2	CDC42BPG	91	500
DRAK1	STK17A	94	500
DRAK2	STK17B	81	500
DYRK1A	DYRK1A	95	500
DYRK1B	DYRK1B	100	500
DYRK2	DYRK2	80	500
EGFR	EGFR	0.6	500
EGFR(E746-A750del)	EGFR	79	500
EGFR(G719C)	EGFR	0.15	500
EGFR(G719S)	EGFR	0	500
EGFR(L747-E749del, A750P)	EGFR	11	500
EGFR(L747-S752del, P753S)	EGFR	43	500
EGFR(L747-T751del,Sins)	EGFR	14	500
EGFR(L858R)	EGFR	3.8	500
EGFR(L858R,T790M)	EGFR	55	500
EGFR(L861Q)	EGFR	0.55	500
EGFR(S752-I759del)	EGFR	0	500
EGFR(T790M)	EGFR	57	500
EIF2AK1	EIF2AK1	100	500
EPHA1	EPHA1	84	500
EPHA2	EPHA2	99	500
EPHA3	EPHA3	85	500
EPHA4	EPHA4	100	500
EPHA5	EPHA5	97	500
EPHA6	EPHA6	94	500
EPHA7	EPHA7	90	500
EPHA8	EPHA8	71	500
EPHB1	EPHB1	94	500
EPHB2	EPHB2	71	500
EPHB3	EPHB3	90	500
EPHB4	EPHB4	100	500
EPHB6	EPHB6	8.4	500
ERBB2	ERBB2	0	500
ERBB3	ERBB3	0	500
ERBB4	ERBB4	0.4	500
ERK1	MAPK3	97	500
ERK2	MAPK1	100	500
ERK3	MAPK6	86	500
ERK4	MAPK4	71	500
ERK5	MAPK7	85	500

ERK8	MAPK15	100	500
ERN1	ERN1	46	500
FAK	PTK2	100	500
FER	FER	76	500
FES	FES	95	500
FGFR1	FGFR1	100	500
FGFR2	FGFR2	96	500
FGFR3	FGFR3	92	500
FGFR3(G697C)	FGFR3	89	500
FGFR4	FGFR4	78	500
FGR	FGR	18	500
FLT1	FLT1	82	500
FLT3	FLT3	2.6	500
FLT3(D835H)	FLT3	17	500
FLT3(D835V)	FLT3	3.2	500
FLT3(D835Y)	FLT3	42	500
FLT3(ITD)	FLT3	23	500
FLT3(ITD,D835V)	FLT3	40	500
FLT3(ITD,F691L)	FLT3	100	500
FLT3(K663Q)	FLT3	8.2	500
FLT3(N841I)	FLT3	0.9	500
FLT3(R834Q)	FLT3	45	500
FLT3-autoinhibited	FLT3	83	500
FLT4	FLT4	86	500
FRK	FRK	58	500
FYN	FYN	47	500
GAK	GAK	78	500
GCN2(Kin.Dom.2,S808G)	EIF2AK4	100	500
GRK1	GRK1	100	500
GRK2	ADRBK1	94	500
GRK3	ADRBK2	97	500
GRK4	GRK4	100	500
GRK7	GRK7	90	500
GSK3A	GSK3A	97	500
GSK3B	GSK3B	100	500
HASPIN	GSG2	98	500
HCK	HCK	5	500
HIPK1	HIPK1	82	500
HIPK2	HIPK2	100	500
HIPK3	HIPK3	100	500

HIPK4	HIPK4	59	500
HPK1	MAP4K1	85	500
HUNK	HUNK	100	500
ICK	ICK	98	500
IGF1R	IGF1R	100	500
IKK-alpha	CHUK	100	500
IKK-beta	IKBKB	100	500
IKK-epsilon	IKBKE	98	500
INSR	INSR	100	500
INSRR	INSRR	100	500
IRAK1	IRAK1	100	500
IRAK3	IRAK3	96	500
IRAK4	IRAK4	100	500
ITK	ITK	98	500
JAK1(JH1domain-catalytic)	JAK1	100	500
JAK1(JH2domain-pseudokinase)	JAK1	89	500
JAK2(JH1domain-catalytic)	JAK2	100	500
JAK3(JH1domain-catalytic)	JAK3	100	500
JNK1	MAPK8	65	500
JNK2	MAPK9	75	500
JNK3	MAPK10	89	500
KIT	KIT	66	500
KIT(A829P)	KIT	66	500
KIT(D816H)	KIT	93	500
KIT(D816V)	KIT	85	500
KIT(L576P)	KIT	84	500
KIT(V559D)	KIT	40	500
KIT(V559D,T670I)	KIT	75	500
KIT(V559D,V654A)	KIT	20	500
KIT-autoinhibited	KIT	93	500
LATS1	LATS1	100	500
LATS2	LATS2	100	500
LCK	LCK	3.7	500
LIMK1	LIMK1	100	500
LIMK2	LIMK2	87	500
LKB1	STK11	89	500
LOK	STK10	45	500
LRRK2	LRRK2	100	500
LRRK2(G2019S)	LRRK2	100	500
LTK	LTK	96	500

LYN	LYN	29	500
LZK	MAP3K13	100	500
MAK	MAK	98	500
MAP3K1	MAP3K1	75	500
MAP3K15	MAP3K15	54	500
MAP3K2	MAP3K2	89	500
MAP3K3	MAP3K3	97	500
MAP3K4	MAP3K4	98	500
MAP4K2	MAP4K2	89	500
MAP4K3	MAP4K3	95	500
MAP4K4	MAP4K4	100	500
MAP4K5	MAP4K5	100	500
MAPKAPK2	MAPKAPK2	100	500
MAPKAPK5	MAPKAPK5	91	500
MARK1	MARK1	86	500
MARK2	MARK2	92	500
MARK3	MARK3	76	500
MARK4	MARK4	85	500
MAST1	MAST1	100	500
MEK1	MAP2K1	8.3	500
MEK2	MAP2K2	17	500
MEK3	MAP2K3	94	500
MEK4	MAP2K4	89	500
MEK5	MAP2K5	0.05	500
MEK6	MAP2K6	92	500
MELK	MELK	95	500
MERTK	MERTK	82	500
MET	MET	100	500
MET(M1250T)	MET	87	500
MET(Y1235D)	MET	100	500
MINK	MINK1	81	500
MKK7	MAP2K7	100	500
MKNK1	MKNK1	100	500
MKNK2	MKNK2	29	500
MLCK	MYLK3	88	500
MLK1	MAP3K9	91	500
MLK2	MAP3K10	100	500
MLK3	MAP3K11	84	500
MRCKA	CDC42BPA	99	500
MRCKB	CDC42BPB	100	500

MST1	STK4	99	500
MST1R	MST1R	92	500
MST2	STK3	90	500
MST3	STK24	98	500
MST4	MST4	91	500
MTOR	MTOR	100	500
MUSK	MUSK	93	500
MYLK	MYLK	88	500
MYLK2	MYLK2	84	500
MYLK4	MYLK4	81	500
MYO3A	MYO3A	98	500
MYO3B	MYO3B	75	500
NDR1	STK38	68	500
NDR2	STK38L	75	500
NEK1	NEK1	93	500
NEK10	NEK10	100	500
NEK11	NEK11	99	500
NEK2	NEK2	91	500
NEK3	NEK3	95	500
NEK4	NEK4	84	500
NEK5	NEK5	78	500
NEK6	NEK6	100	500
NEK7	NEK7	96	500
NEK9	NEK9	78	500
NIK	MAP3K14	54	500
NIM1	MGC42105	100	500
NLK	NLK	89	500
OSR1	OXSR1	85	500
p38-alpha	MAPK14	65	500
p38-beta	MAPK11	82	500
p38-delta	MAPK13	84	500
p38-gamma	MAPK12	62	500
PAK1	PAK1	92	500
PAK2	PAK2	90	500
PAK3	PAK3	99	500
PAK4	PAK4	92	500
PAK6	PAK6	90	500
PAK7	PAK7	100	500
PCTK1	CDK16	92	500
PCTK2	CDK17	93	500

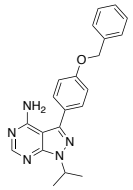
PCTK3	CDK18	85	500
PDGFRA	PDGFRA	45	500
PDGFRB	PDGFRB	32	500
PDPK1	PDPK1	98	500
PFCDPK1(P.falciparum)	CDPK1	9.2	500
PFPK5(P.falciparum)	MAL13P1.279	100	500
PFTAIRE2	CDK15	81	500
PFTK1	CDK14	73	500
PHKG1	PHKG1	94	500
PHKG2	PHKG2	82	500
PIK3C2B	PIK3C2B	100	500
PIK3C2G	PIK3C2G	95	500
PIK3CA	PIK3CA	100	500
PIK3CA(C420R)	PIK3CA	85	500
PIK3CA(E542K)	PIK3CA	100	500
PIK3CA(E545A)	PIK3CA	93	500
PIK3CA(E545K)	PIK3CA	69	500
PIK3CA(H1047L)	PIK3CA	100	500
PIK3CA(H1047Y)	PIK3CA	86	500
PIK3CA(I800L)	PIK3CA	49	500
PIK3CA(M1043I)	PIK3CA	100	500
PIK3CA(Q546K)	PIK3CA	91	500
PIK3CB	PIK3CB	94	500
PIK3CD	PIK3CD	90	500
PIK3CG	PIK3CG	100	500
PIK4CB	PI4KB	96	500
PIKFYVE	PIKFYVE	99	500
PIM1	PIM1	99	500
PIM2	PIM2	100	500
PIM3	PIM3	94	500
PIP5K1A	PIP5K1A	95	500
PIP5K1C	PIP5K1C	98	500
PIP5K2B	PIP4K2B	96	500
PIP5K2C	PIP4K2C	67	500
PKAC-alpha	PRKACA	100	500
PKAC-beta	PRKACB	91	500
PKMYT1	PKMYT1	100	500
PKN1	PKN1	73	500
PKN2	PKN2	94	500
PKNB(M.tuberculosis)	pknB	100	500

PLK1	PLK1	100	500
PLK2	PLK2	100	500
PLK3	PLK3	87	500
PLK4	PLK4	100	500
PRKCD	PRKCD	98	500
PRKCE	PRKCE	100	500
PRKCH	PRKCH	87	500
PRKCI	PRKCI	93	500
PRKCQ	PRKCQ	76	500
PRKD1	PRKD1	100	500
PRKD2	PRKD2	98	500
PRKD3	PRKD3	88	500
PRKG1	PRKG1	91	500
PRKG2	PRKG2	100	500
PRKR	EIF2AK2	100	500
PRKX	PRKX	99	500
PRP4	PRPF4B	68	500
PYK2	PTK2B	96	500
QSK	KIAA0999	75	500
RAF1	RAF1	83	500
RET	RET	38	500
RET(M918T)	RET	51	500
RET(V804L)	RET	94	500
RET(V804M)	RET	100	500
RIOK1	RIOK1	80	500
RIOK2	RIOK2	100	500
RIOK3	RIOK3	92	500
RIPK1	RIPK1	73	500
RIPK2	RIPK2	0.25	500
RIPK4	RIPK4	96	500
RIPK5	DSTYK	88	500
ROCK1	ROCK1	80	500
ROCK2	ROCK2	98	500
ROS1	ROS1	72	500
RPS6KA4(Kin.Dom.1-N-terminal)	RPS6KA4	87	500
RPS6KA4(Kin.Dom.2-C-terminal)	RPS6KA4	100	500
RPS6KA5(Kin.Dom.1-N-terminal)	RPS6KA5	89	500
RPS6KA5(Kin.Dom.2-C-terminal)	RPS6KA5	97	500
RSK1(Kin.Dom.1-N-terminal)	RPS6KA1	76	500
RSK1(Kin.Dom.2-C-terminal)	RPS6KA1	97	500

RSK2(Kin.Dom.1-N-terminal)	RPS6KA3	83	500
RSK2(Kin.Dom.2-C-terminal)	RPS6KA3	100	500
RSK3(Kin.Dom.1-N-terminal)	RPS6KA2	92	500
RSK3(Kin.Dom.2-C-terminal)	RPS6KA2	100	500
RSK4(Kin.Dom.1-N-terminal)	RPS6KA6	83	500
RSK4(Kin.Dom.2-C-terminal)	RPS6KA6	78	500
S6K1	RPS6KB1	83	500
SBK1	SBK1	84	500
SGK	SGK1	100	500
SgK110	SgK110	100	500
SGK2	SGK2	100	500
SGK3	SGK3	95	500
SIK	SIK1	75	500
SIK2	SIK2	100	500
SLK	SLK	78	500
SNARK	NUAK2	99	500
SNRK	SNRK	99	500
SRC	SRC	22	500
SRMS	SRMS	1.5	500
SRPK1	SRPK1	94	500
SRPK2	SRPK2	62	500
SRPK3	SRPK3	100	500
STK16	STK16	99	500
STK33	STK33	99	500
STK35	STK35	74	500
STK36	STK36	80	500
STK39	STK39	99	500
SYK	SYK	90	500
TAK1	MAP3K7	89	500
TAOK1	TAOK1	100	500
TAOK2	TAOK2	73	500
TAOK3	TAOK3	100	500
TBK1	TBK1	67	500
TEC	TEC	31	500
TESK1	TESK1	79	500
TGFBR1	TGFBR1	79	500
TGFBR2	TGFBR2	95	500
TIE1	TIE1	100	500
TIE2	TEK	80	500
TLK1	TLK1	96	500

TLK2	TLK2	100	500
TNIK	TNIK	89	500
TNK1	TNK1	93	500
TNK2	TNK2	99	500
TNNI3K	TNNI3K	84	500
TRKA	NTRK1	100	500
TRKB	NTRK2	100	500
TRKC	NTRK3	100	500
TRPM6	TRPM6	73	500
TSSK1B	TSSK1B	88	500
TSSK3	TSSK3	91	500
TTK	TTK	95	500
TXK	TXK	75	500
TYK2(JH1domain-catalytic)	TYK2	100	500
TYK2(JH2domain-pseudokinase)	TYK2	100	500
TYRO3	TYRO3	51	500
ULK1	ULK1	96	500
ULK2	ULK2	100	500
ULK3	ULK3	81	500
VEGFR2	KDR	82	500
VPS34	PIK3C3	97	500
VRK2	VRK2	92	500
WEE1	WEE1	100	500
WEE2	WEE2	79	500
WNK1	WNK1	97	500
WNK2	WNK2	100	500
WNK3	WNK3	100	500
WNK4	WNK4	100	500
YANK1	STK32A	100	500
YANK2	STK32B	100	500
YANK3	STK32C	84	500
YES	YES1	26	500
YSK1	STK25	100	500
YSK4	MAP3K19	94	500
ZAK	ZAK	58	500
ZAP70	ZAP70	100	500

Compound 6:



DiscoveRx Gene Symbol	Entrez Gene Symbol	Percent Control	Compound Concentration (nM)
ABL1(E255K)-phosphorylated	ABL1	57	500
ABL1(F317I)-nonphosphorylated	ABL1	35	500
ABL1(F317I)-phosphorylated	ABL1	76	500
ABL1(F317L)-nonphosphorylated	ABL1	27	500
ABL1(F317L)-phosphorylated	ABL1	57	500
ABL1(H396P)-nonphosphorylated	ABL1	51	500
ABL1(H396P)-phosphorylated	ABL1	54	500
ABL1(M351T)-phosphorylated	ABL1	78	500
ABL1(Q252H)-nonphosphorylated	ABL1	26	500
ABL1(Q252H)-phosphorylated	ABL1	59	500
ABL1(T315I)-nonphosphorylated	ABL1	89	500
ABL1(T315I)-phosphorylated	ABL1	88	500
ABL1(Y253F)-phosphorylated	ABL1	64	500
ABL1-nonphosphorylated	ABL1	23	500
ABL1-phosphorylated	ABL1	53	500
ABL2	ABL2	58	500
ACVR1	ACVR1	100	500
ACVR1B	ACVR1B	99	500
ACVR2A	ACVR2A	100	500
ACVR2B	ACVR2B	92	500
ACVRL1	ACVRL1	100	500
ADCK3	CABC1	66	500
ADCK4	ADCK4	79	500
AKT1	AKT1	84	500
AKT2	AKT2	46	500
AKT3	AKT3	100	500
ALK	ALK	77	500

ALK(C1156Y)	ALK	89	500
ALK(L1196M)	ALK	96	500
AMPK-alpha1	PRKAA1	76	500
AMPK-alpha2	PRKAA2	74	500
ANKK1	ANKK1	93	500
ARK5	NUAK1	96	500
ASK1	MAP3K5	97	500
ASK2	MAP3K6	100	500
AURKA	AURKA	15	500
AURKB	AURKB	24	500
AURKC	AURKC	2.5	500
AXL	AXL	47	500
BIKE	BMP2K	88	500
BLK	BLK	0.35	500
BMPR1A	BMPR1A	92	500
BMPR1B	BMPR1B	86	500
BMPR2	BMPR2	93	500
BMX	BMX	20	500
BRAF	BRAF	68	500
BRAF(V600E)	BRAF	38	500
BRK	PTK6	1.8	500
BRSK1	BRSK1	96	500
BRSK2	BRSK2	95	500
BTK	BTK	0.35	500
BUB1	BUB1	95	500
CAMK1	CAMK1	76	500
CAMK1B	PNCK	100	500
CAMK1D	CAMK1D	85	500
CAMK1G	CAMK1G	100	500
CAMK2A	CAMK2A	91	500
CAMK2B	CAMK2B	82	500
CAMK2D	CAMK2D	95	500
CAMK2G	CAMK2G	100	500
CAMK4	CAMK4	91	500
CAMKK1	CAMKK1	94	500
CAMKK2	CAMKK2	91	500
CASK	CASK	87	500
CDC2L1	CDK11B	91	500
CDC2L2	CDC2L2	85	500
CDC2L5	CDK13	100	500

CDK11	CDK19	77	500
CDK2	CDK2	91	500
CDK3	CDK3	87	500
CDK4	CDK4	92	500
CDK4-cyclinD1	CDK4	96	500
CDK4-cyclinD3	CDK4	78	500
CDK5	CDK5	100	500
CDK7	CDK7	71	500
CDK8	CDK8	94	500
CDK9	CDK9	97	500
CDKL1	CDKL1	67	500
CDKL2	CDKL2	100	500
CDKL3	CDKL3	88	500
CDKL5	CDKL5	93	500
CHEK1	CHEK1	83	500
CHEK2	CHEK2	95	500
CIT	CIT	100	500
CLK1	CLK1	82	500
CLK2	CLK2	82	500
CLK3	CLK3	88	500
CLK4	CLK4	97	500
CSF1R	CSF1R	3.5	500
CSF1R-autoinhibited	CSF1R	100	500
CSK	CSK	3.5	500
CSNK1A1	CSNK1A1	66	500
CSNK1A1L	CSNK1A1L	84	500
CSNK1D	CSNK1D	84	500
CSNK1E	CSNK1E	11	500
CSNK1G1	CSNK1G1	88	500
CSNK1G2	CSNK1G2	93	500
CSNK1G3	CSNK1G3	94	500
CSNK2A1	CSNK2A1	83	500
CSNK2A2	CSNK2A2	100	500
CTK	MATK	59	500
DAPK1	DAPK1	97	500
DAPK2	DAPK2	85	500
DAPK3	DAPK3	86	500
DCAMKL1	DCLK1	89	500
DCAMKL2	DCLK2	87	500
DCAMKL3	DCLK3	100	500

DDR1	DDR1	54	500
DDR2	DDR2	92	500
DLK	MAP3K12	81	500
DMPK	DMPK	100	500
DMPK2	CDC42BPG	93	500
DRAK1	STK17A	98	500
DRAK2	STK17B	94	500
DYRK1A	DYRK1A	80	500
DYRK1B	DYRK1B	88	500
DYRK2	DYRK2	88	500
EGFR	EGFR	6.1	500
EGFR(E746-A750del)	EGFR	95	500
EGFR(G719C)	EGFR	4.9	500
EGFR(G719S)	EGFR	4.5	500
EGFR(L747-E749del, A750P)	EGFR	63	500
EGFR(L747-S752del, P753S)	EGFR	63	500
EGFR(L747-T751del,Sins)	EGFR	49	500
EGFR(L858R)	EGFR	52	500
EGFR(L858R,T790M)	EGFR	70	500
EGFR(L861Q)	EGFR	19	500
EGFR(S752-I759del)	EGFR	58	500
EGFR(T790M)	EGFR	1.4	500
EIF2AK1	EIF2AK1	92	500
EPHA1	EPHA1	55	500
EPHA2	EPHA2	78	500
EPHA3	EPHA3	96	500
EPHA4	EPHA4	100	500
EPHA5	EPHA5	100	500
EPHA6	EPHA6	90	500
EPHA7	EPHA7	95	500
EPHA8	EPHA8	68	500
EPHB1	EPHB1	100	500
EPHB2	EPHB2	64	500
EPHB3	EPHB3	100	500
EPHB4	EPHB4	92	500
EPHB6	EPHB6	3.4	500
ERBB2	ERBB2	0	500
ERBB3	ERBB3	4.7	500
ERBB4	ERBB4	13	500
ERK1	MAPK3	88	500

ERK2	MAPK1	99	500
ERK3	MAPK6	93	500
ERK4	MAPK4	87	500
ERK5	MAPK7	76	500
ERK8	MAPK15	86	500
ERN1	ERN1	69	500
FAK	PTK2	86	500
FER	FER	99	500
FES	FES	82	500
FGFR1	FGFR1	92	500
FGFR2	FGFR2	61	500
FGFR3	FGFR3	77	500
FGFR3(G697C)	FGFR3	100	500
FGFR4	FGFR4	98	500
FGR	FGR	8.5	500
FLT1	FLT1	42	500
FLT3	FLT3	3.5	500
FLT3(D835H)	FLT3	49	500
FLT3(D835V)	FLT3	40	500
FLT3(D835Y)	FLT3	8.7	500
FLT3(ITD)	FLT3	15	500
FLT3(ITD,D835V)	FLT3	77	500
FLT3(ITD,F691L)	FLT3	96	500
FLT3(K663Q)	FLT3	26	500
FLT3(N841I)	FLT3	23	500
FLT3(R834Q)	FLT3	74	500
FLT3-autoinhibited	FLT3	62	500
FLT4	FLT4	61	500
FRK	FRK	25	500
FYN	FYN	12	500
GAK	GAK	51	500
GCN2(Kin.Dom.2,S808G)	EIF2AK4	63	500
GRK1	GRK1	93	500
GRK2	ADRBK1	100	500
GRK3	ADRBK2	89	500
GRK4	GRK4	87	500
GRK7	GRK7	83	500
GSK3A	GSK3A	89	500
GSK3B	GSK3B	74	500
HASPIN	GSG2	96	500

HCK	HCK	1.4	500
HIPK1	HIPK1	74	500
HIPK2	HIPK2	98	500
HIPK3	HIPK3	100	500
HIPK4	HIPK4	81	500
HPK1	MAP4K1	96	500
HUNK	HUNK	84	500
ICK	ICK	100	500
IGF1R	IGF1R	86	500
IKK-alpha	CHUK	84	500
IKK-beta	IKBKB	69	500
IKK-epsilon	IKBKE	47	500
INSR	INSR	69	500
INSRR	INSRR	81	500
IRAK1	IRAK1	98	500
IRAK3	IRAK3	78	500
IRAK4	IRAK4	86	500
ITK	ITK	83	500
JAK1(JH1domain-catalytic)	JAK1	100	500
JAK1(JH2domain-pseudokinase)	JAK1	100	500
JAK2(JH1domain-catalytic)	JAK2	91	500
JAK3(JH1domain-catalytic)	JAK3	100	500
JNK1	MAPK8	81	500
JNK2	MAPK9	96	500
JNK3	MAPK10	96	500
KIT	KIT	1.2	500
KIT(A829P)	KIT	59	500
KIT(D816H)	KIT	100	500
KIT(D816V)	KIT	68	500
KIT(L576P)	KIT	1.8	500
KIT(V559D)	KIT	0.7	500
KIT(V559D,T670I)	KIT	48	500
KIT(V559D,V654A)	KIT	46	500
KIT-autoinhibited	KIT	66	500
LATS1	LATS1	56	500
LATS2	LATS2	49	500
LCK	LCK	1.6	500
LIMK1	LIMK1	56	500
LIMK2	LIMK2	86	500
LKB1	STK11	96	500

LOK	STK10	9.2	500
LRRK2	LRRK2	100	500
LRRK2(G2019S)	LRRK2	71	500
LTK	LTK	69	500
LYN	LYN	15	500
LZK	MAP3K13	94	500
MAK	MAK	100	500
MAP3K1	MAP3K1	84	500
MAP3K15	MAP3K15	73	500
MAP3K2	MAP3K2	53	500
MAP3K3	MAP3K3	57	500
MAP3K4	MAP3K4	82	500
MAP4K2	MAP4K2	92	500
MAP4K3	MAP4K3	0.4	500
MAP4K4	MAP4K4	98	500
MAP4K5	MAP4K5	78	500
MAPKAPK2	MAPKAPK2	100	500
MAPKAPK5	MAPKAPK5	95	500
MARK1	MARK1	87	500
MARK2	MARK2	100	500
MARK3	MARK3	91	500
MARK4	MARK4	95	500
MAST1	MAST1	90	500
MEK1	MAP2K1	0	500
MEK2	MAP2K2	0.1	500
MEK3	MAP2K3	82	500
MEK4	MAP2K4	74	500
MEK5	MAP2K5	0	500
MEK6	MAP2K6	95	500
MELK	MELK	70	500
MERTK	MERTK	30	500
MET	MET	54	500
MET(M1250T)	MET	78	500
MET(Y1235D)	MET	73	500
MINK	MINK1	70	500
MKK7	MAP2K7	100	500
MKNK1	MKNK1	91	500
MKNK2	MKNK2	71	500
MLCK	MYLK3	91	500
MLK1	MAP3K9	89	500

MLK2	MAP3K10	100	500
MLK3	MAP3K11	85	500
MRCKA	CDC42BPA	79	500
MRCKB	CDC42BPB	86	500
MST1	STK4	45	500
MST1R	MST1R	82	500
MST2	STK3	100	500
MST3	STK24	93	500
MST4	MST4	100	500
MTOR	MTOR	81	500
MUSK	MUSK	93	500
MYLK	MYLK	56	500
MYLK2	MYLK2	88	500
MYLK4	MYLK4	93	500
MYO3A	MYO3A	81	500
MYO3B	MYO3B	74	500
NDR1	STK38	79	500
NDR2	STK38L	76	500
NEK1	NEK1	100	500
NEK10	NEK10	99	500
NEK11	NEK11	98	500
NEK2	NEK2	95	500
NEK3	NEK3	83	500
NEK4	NEK4	94	500
NEK5	NEK5	87	500
NEK6	NEK6	83	500
NEK7	NEK7	93	500
NEK9	NEK9	98	500
NIK	MAP3K14	93	500
NIM1	MGC42105	100	500
NLK	NLK	49	500
OSR1	OXSR1	96	500
p38-alpha	MAPK14	95	500
p38-beta	MAPK11	82	500
p38-delta	MAPK13	100	500
p38-gamma	MAPK12	73	500
PAK1	PAK1	89	500
PAK2	PAK2	93	500
PAK3	PAK3	87	500
PAK4	PAK4	86	500

PAK6	PAK6	84	500
PAK7	PAK7	100	500
PCTK1	CDK16	99	500
PCTK2	CDK17	79	500
PCTK3	CDK18	100	500
PDGFRA	PDGFRA	30	500
PDGFRB	PDGFRB	2.7	500
PDPK1	PDPK1	83	500
PFCDPK1(P.falciparum)	CDPK1	0	500
PFPK5(P.falciparum)	MAL13P1.279	97	500
PFTAIRE2	CDK15	97	500
PFTK1	CDK14	72	500
PHKG1	PHKG1	83	500
PHKG2	PHKG2	71	500
PIK3C2B	PIK3C2B	86	500
PIK3C2G	PIK3C2G	88	500
PIK3CA	PIK3CA	100	500
PIK3CA(C420R)	PIK3CA	89	500
PIK3CA(E542K)	PIK3CA	95	500
PIK3CA(E545A)	PIK3CA	86	500
PIK3CA(E545K)	PIK3CA	83	500
PIK3CA(H1047L)	PIK3CA	100	500
PIK3CA(H1047Y)	PIK3CA	72	500
PIK3CA(I800L)	PIK3CA	93	500
PIK3CA(M1043I)	PIK3CA	93	500
PIK3CA(Q546K)	PIK3CA	100	500
PIK3CB	PIK3CB	95	500
PIK3CD	PIK3CD	73	500
PIK3CG	PIK3CG	97	500
PIK4CB	PI4KB	100	500
PIKFYVE	PIKFYVE	100	500
PIM1	PIM1	91	500
PIM2	PIM2	100	500
PIM3	PIM3	98	500
PIP5K1A	PIP5K1A	78	500
PIP5K1C	PIP5K1C	91	500
PIP5K2B	PIP4K2B	100	500
PIP5K2C	PIP4K2C	89	500
PKAC-alpha	PRKACA	98	500
PKAC-beta	PRKACB	96	500

PKMYT1	PKMYT1	65	500
PKN1	PKN1	79	500
PKN2	PKN2	100	500
PKNB(M.tuberculosis)	pknB	75	500
PLK1	PLK1	99	500
PLK2	PLK2	100	500
PLK3	PLK3	92	500
PLK4	PLK4	76	500
PRKCD	PRKCD	96	500
PRKCE	PRKCE	100	500
PRKCH	PRKCH	96	500
PRKCI	PRKCI	34	500
PRKCQ	PRKCQ	67	500
PRKD1	PRKD1	95	500
PRKD2	PRKD2	86	500
PRKD3	PRKD3	78	500
PRKG1	PRKG1	100	500
PRKG2	PRKG2	82	500
PRKR	EIF2AK2	99	500
PRKX	PRKX	92	500
PRP4	PRPF4B	100	500
PYK2	PTK2B	100	500
QSK	KIAA0999	97	500
RAF1	RAF1	46	500
RET	RET	3.2	500
RET(M918T)	RET	5.7	500
RET(V804L)	RET	70	500
RET(V804M)	RET	86	500
RIOK1	RIOK1	93	500
RIOK2	RIOK2	100	500
RIOK3	RIOK3	100	500
RIPK1	RIPK1	82	500
RIPK2	RIPK2	2	500
RIPK4	RIPK4	87	500
RIPK5	DSTYK	44	500
ROCK1	ROCK1	85	500
ROCK2	ROCK2	70	500
ROS1	ROS1	84	500
RPS6KA4(Kin.Dom.1-N-terminal)	RPS6KA4	91	500
RPS6KA4(Kin.Dom.2-C-terminal)	RPS6KA4	87	500

RPS6KA5(Kin.Dom.1-N-terminal)	RPS6KA5	95	500
RPS6KA5(Kin.Dom.2-C-terminal)	RPS6KA5	93	500
RSK1(Kin.Dom.1-N-terminal)	RPS6KA1	97	500
RSK1(Kin.Dom.2-C-terminal)	RPS6KA1	95	500
RSK2(Kin.Dom.1-N-terminal)	RPS6KA3	86	500
RSK2(Kin.Dom.2-C-terminal)	RPS6KA3	93	500
RSK3(Kin.Dom.1-N-terminal)	RPS6KA2	100	500
RSK3(Kin.Dom.2-C-terminal)	RPS6KA2	84	500
RSK4(Kin.Dom.1-N-terminal)	RPS6KA6	100	500
RSK4(Kin.Dom.2-C-terminal)	RPS6KA6	97	500
S6K1	RPS6KB1	87	500
SBK1	SBK1	100	500
SGK	SGK1	91	500
SgK110	SgK110	85	500
SGK2	SGK2	83	500
SGK3	SGK3	96	500
SIK	SIK1	21	500
SIK2	SIK2	74	500
SLK	SLK	55	500
SNARK	NUAK2	70	500
SNRK	SNRK	79	500
SRC	SRC	1.6	500
SRMS	SRMS	1.1	500
SRPK1	SRPK1	65	500
SRPK2	SRPK2	100	500
SRPK3	SRPK3	96	500
STK16	STK16	86	500
STK33	STK33	87	500
STK35	STK35	95	500
STK36	STK36	90	500
STK39	STK39	97	500
SYK	SYK	100	500
TAK1	MAP3K7	73	500
TAOK1	TAOK1	100	500
TAOK2	TAOK2	80	500
TAOK3	TAOK3	99	500
TBK1	TBK1	61	500
TEC	TEC	13	500
TESK1	TESK1	74	500
TGFBR1	TGFBR1	88	500

TGFBR2	TGFBR2	100	500
TIE1	TIE1	57	500
TIE2	TEK	63	500
TLK1	TLK1	99	500
TLK2	TLK2	93	500
TNIK	TNIK	93	500
TNK1	TNK1	13	500
TNK2	TNK2	43	500
TNNI3K	TNNI3K	52	500
TRKA	NTRK1	59	500
TRKB	NTRK2	100	500
TRKC	NTRK3	77	500
TRPM6	TRPM6	73	500
TSSK1B	TSSK1B	92	500
TSSK3	TSSK3	99	500
TTK	TTK	50	500
TXK	TXK	42	500
TYK2(JH1domain-catalytic)	TYK2	98	500
TYK2(JH2domain-pseudokinase)	TYK2	94	500
TYRO3	TYRO3	42	500
ULK1	ULK1	84	500
ULK2	ULK2	93	500
ULK3	ULK3	87	500
VEGFR2	KDR	56	500
VPS34	PIK3C3	100	500
VRK2	VRK2	86	500
WEE1	WEE1	97	500
WEE2	WEE2	84	500
WNK1	WNK1	95	500
WNK2	WNK2	88	500
WNK3	WNK3	88	500
WNK4	WNK4	79	500
YANK1	STK32A	13	500
YANK2	STK32B	13	500
YANK3	STK32C	68	500
YES	YES1	11	500
YSK1	STK25	100	500
YSK4	MAP3K19	85	500
ZAK	ZAK	81	500
ZAP70	ZAP70	87	500