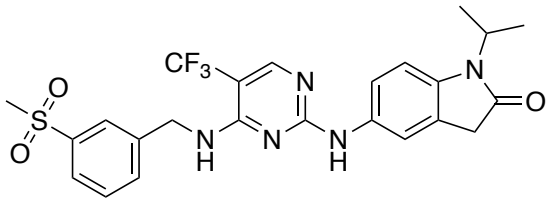
MAP3K10



PFE-PKIS 18

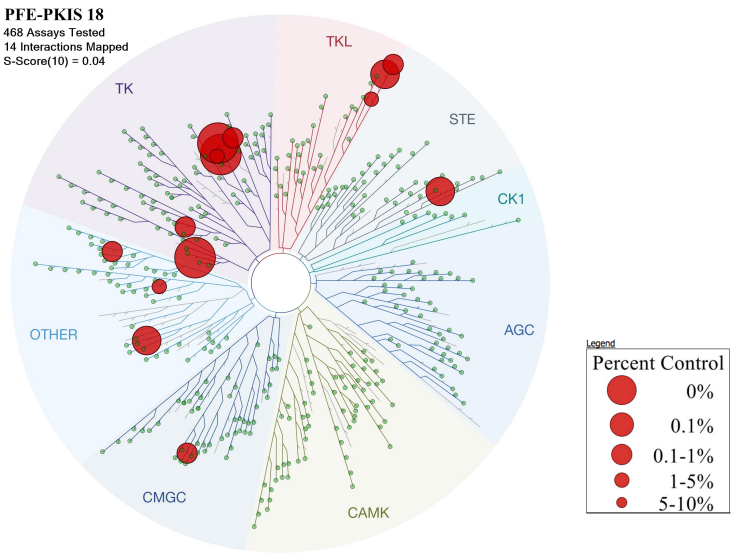
Chemical Name: 1-isopropyl-5-((4-((3-(methylsulfonyl)benzyl)amino)-5-(trifluoromethyl)pyrimidin-2-yl)amino)indolin-2-one
CHEBI: 144783
Smile String:
CS(C1=CC(CNC2=NC(NC3=CC=C4N(C(CC4=C3)=O)C(C)C)=NC=C2C(F)(F)F)=CC=C1)(=O)=O
Chemical Formula: $C_{24}H_{24}F_3N_5O_3S$
Molecular Weight: 519.54
cLogP: 0.514
Source: SGC-UNC
Reference: Drewry, D. H.; *et al*. “Progress towards a public chemogenomic set for protein kinases and a call for contributions.” *PLoS ONE* **2017**, *12*, e0181585.

Biochemical profiling

DiscoverX (403 wild-type human kinases)

S_{10} (1μM): 0.035 (14 kinase < 10% control)

MAP3K10 K_d = 74 nM



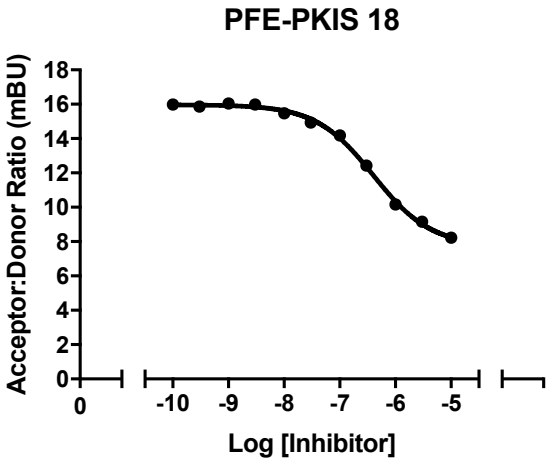
Kinase	% Control @ 1uM
FAK	0
JAK2(JH1domain-catalytic)	0
JAK3(JH1domain-catalytic)	0
YSK4	0.2
MLK1	0.3
TTK	0.9
MLK3	1.2
FLT3	2.4
AURKA	2.7
TRKA	3.3
P38-alpha	3.6
STK16	5.3
TYK2(JH1domain-catalytic)	7.8
MLK2	9.8

a.Treespot of DiscoverX KINOMEscan data. b. List of kinases inhibited < 10% control

Cellular target engagement in HEK293 cells

NLuc-MAP3K10 (N term)

MAP3K10 IC_{50} = 402 nM



Cellular target engagement of PFE-PKIS 18 with MAP3K10