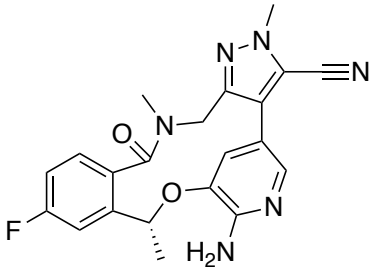
LTK



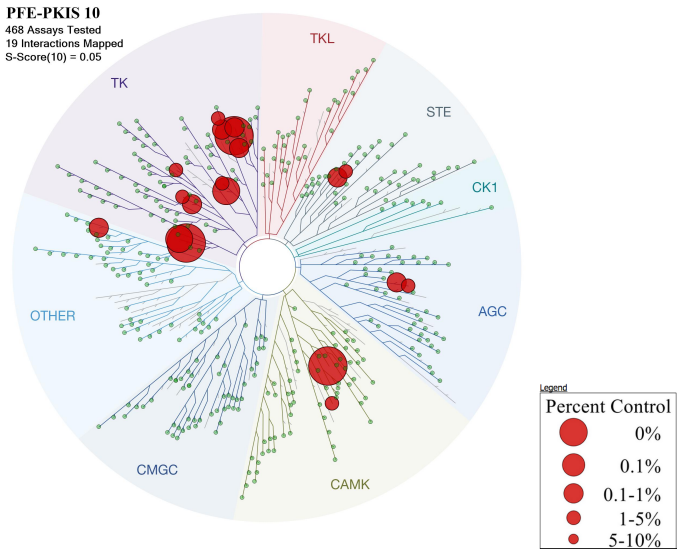
PFE-PKIS 10

Chemical Name: (*R*)-2[6]-amino-5[5]-fluoro-1[1],4,7-trimethyl-6-oxo-1[1]*H*-3-oxa-7-aza-2(3,5)-pyridina-1(4,3)-pyrazola-5(1,2)-benzenacyclooctaphane-1[5]-carbonitrile
CHEBI:143117
Smile String:
C[C@H](C1=CC(F)=CC=C12)OC3=C(N=CC(C4=C(N(N=C4CN(C)C2=O)C)C#N)=C3)N
Chemical Formula: $C_{21}H_{19}FN_6O_2$
Molecular Weight: 406.42
cLogP: 0.134
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): 0.047 (19 kinases < 10% control)



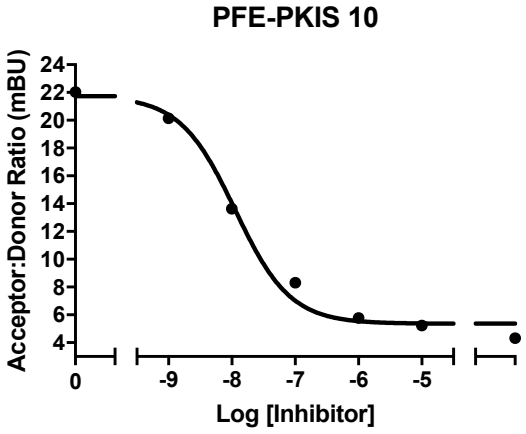
a.Treespot of DiscoverX KINOMEscan data. b. List of kinases inhibited < 10% control

Kinase	% Control @ 1uM
PHGK2	0
FAK	0
ALK	0
PYK2	0.4
TNK2	0.6
FER	1.2
ROS1	1.5
SLK	1.7
LTK	1.9
PLK4	2.1
TRKA	2.3
GRK1	4.2
GRK7	5.7
FES	6.2
TNK1	6.6
TRKB	6.6
LOK	7.2
FRK	8
DCAMKL2	8.2

Cellular target engagement in HEK293 cells

LTK-NLuc (C term)

LTK IC_{50} = 12 nM



Cellular target engagement of PFE-PKIS 10 with LTK