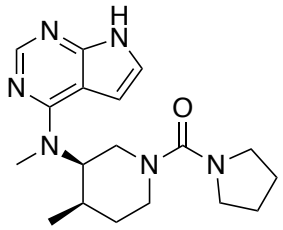
DCLK3



PFE-PKIS 8

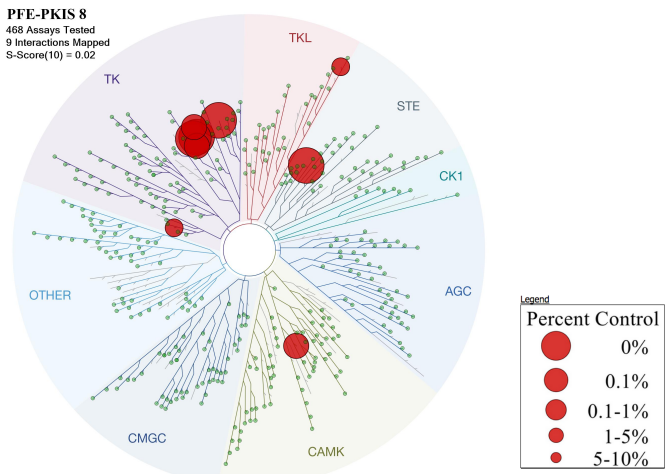
Chemical Name: ((3*R*,4*R*)-4-methyl-3-(methyl(7*H*-pyrrolo[2,3-*d*]pyrimidin-4-yl)amino)piperidin-1-yl)(pyrrolidin-1-yl)methanone
CHEBI: 144672
Smile String:
CN(C1=C2C(NC=C2)=NC=N1)[C@@H]3[C@@H](CCN(C3)C(N4CCCC4)=O)C
Chemical Formula: $C_{18}H_{26}N_6O$
Molecular Weight: 342.45
cLogP: 1.2899
Source: SGC-UNC
References: Drewry, D. H.; *et al*. “Progress towards a public chemogenomic set for protein kinases and a call for contributions.” *PLoS ONE* **2017**, *12*, e0181585. Jones, P.; *et al*. “Design and Synthesis of a Pan-Janus Kinase Inhibitor Clinical Candidate (PF-06263276) Suitable for Inhaled and Topical Delivery for the Treatment of Inflammatory Diseases of the Lungs and Skin.” *J Med Chem*. **2016**, *60*, 767.

Biochemical profiling

DiscoverX (403 wild-type human kinases)

S_{10} (1µM): 0.022 (9 kinase < 10% control)

DCLK3 IC_{50} = 48 nM



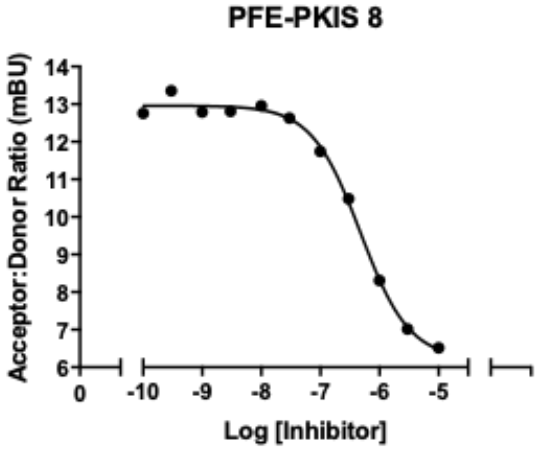
Kinase	% Control @ 1uM
JAK2 (JH1domain-catalytic)	0
LTK	0
MST1	0
TYK2 (JH1domain-catalytic)	0
DCLK3	0.2
JAK1 (JH1domain-catalytic)	0.4
JAK3 (JH1domain-catalytic)	0.4
MLK1	2.6
FAK	2.7

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

Cellular target engagement in HEK293 cells

DCLK3-NLuc (C term)

DCLK3 IC_{50} = 475 nM



Cellular target engagement of PFE-PKIS 8 with DCLK3