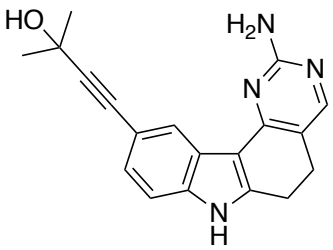
PIP5K1C

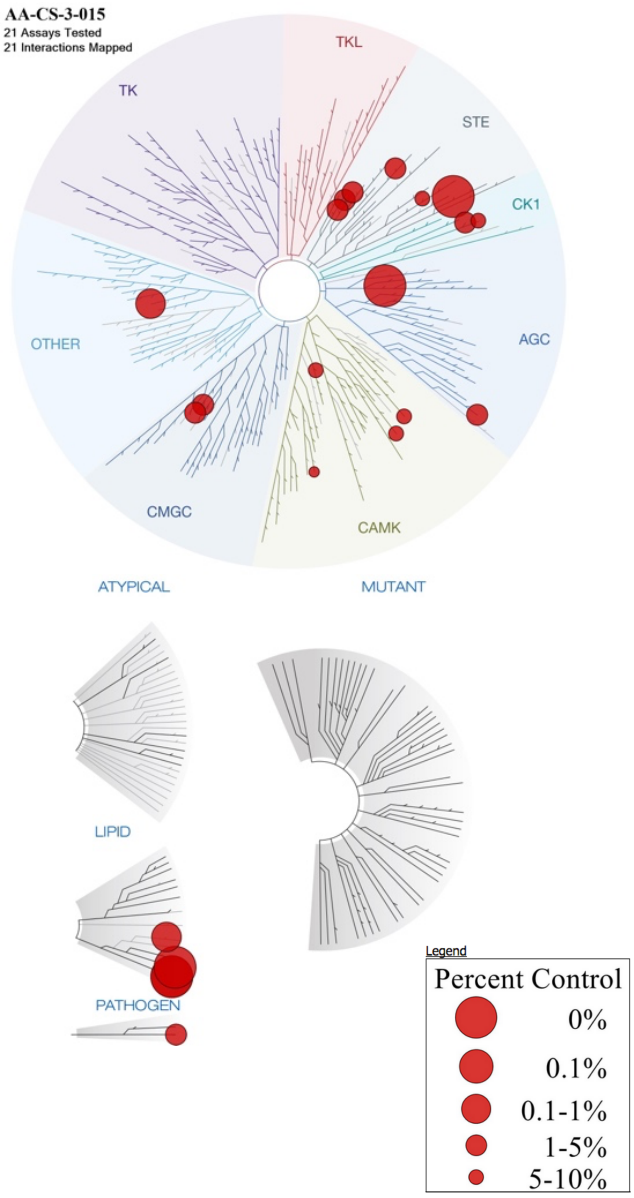


AA-CS-3-015

Chemical Name: 4-(2-amino-6,7-dihydro-5*H*-pyrimido[5,4-*c*]carbazol-10-yl)-2-methylbut-3-yn-2-ol
CHEBI: 194086
Smile String:
C=1C2=C(C=CC1C#CC(C)(C)O)NC3=C2C4=C(CC3)C=NC(=N4)N
Chemical Formula: $C_{19}H_{18}N_4O$
Molecular Weight: 318.38
cLogP: -0.017
Source: SGC-UNC
Reference: Drewry DH, Potjewyd FM, Smith JL, Dickmander RJ, Bayati A, Howell S, Taft-Benz S, Min SM, Hossain MA, Heise M, McPherson PS, Moorman NJ, Axtman AD. Identification and utilization of a chemical probe to interrogate the roles of PIKfyve in the lifecycle of β-coronaviruses. *J Med Chem* **2022**, *65*, 12860–12882.

Biochemical profiling

DiscoverX (403 wild-type human kinases)
S_{10}(1 μM) = 0.05 (21 kinases <10% control)
PIP5K1C IC_{50} (enzymatic assay) = 123 nM



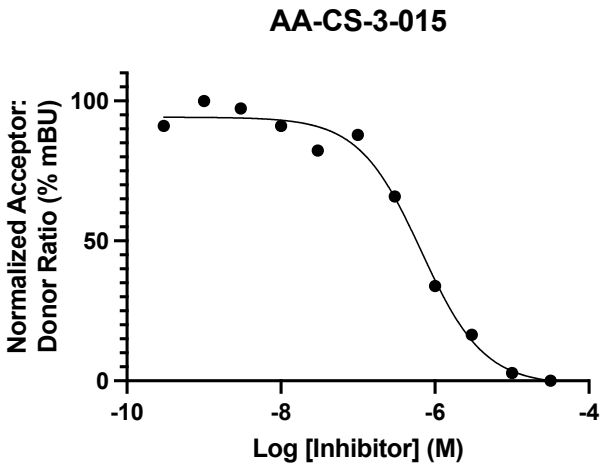
Kinase	% Control @ 1 μM
PIKFYVE	0
YSK4	0
CIT	0
PIP5K2C	0
HASPIN	0.3
PIP5K1C	0.5
TAOK1	1.2
TAOK3	1.5
TAOK2	1.5
PKNB(M.tuberculosis)	1.7
MAP4K2	2
CSNK1D	3
PRKCE	3.1
CDK11	4.7
CDK8	4.8
CSNK1E	6.2
RPS6KA4(Kin.Dom.2-C-terminal)	7.3
MEK4	7.3
CHEK2	7.9
MYLK4	9.4
TSSK3	10

a.Treespot of DiscoverX KINOMEscan data. b. List of kinases that bind with <10% control

Cellular target engagement in HEK293 cells

NLuc-PIP5K1C (N term)

PIP5K1C IC_{50} = 679 nM



Cellular target engagement of AA-CS-3-015 with PIP5K1C