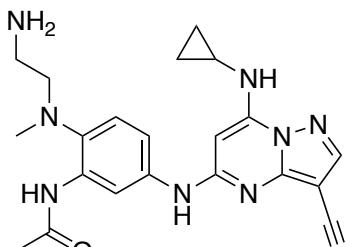


DYRK2



AZ-G/AZKI-012a

Chemical Name: *N*-(2-((2-aminoethyl)(methyl)amino)-5-((3-cyano-7-(cyclopropylamino)pyrazolo[1,5-*a*]pyrimidin-5-yl)amino)phenyl)acetamide

CHEBI:143115

Smile String:

CN(C1=CC=C(NC2=NC3=C(C#N)C=NN3C(N([H])C4CC4)=C2)C=C1NC(C)=O)CCN

Chemical Formula: C₂₁H₂₅N₉O

Molecular Weight: 419.49

cLogP: -0.5034

Source: SGC-UNC

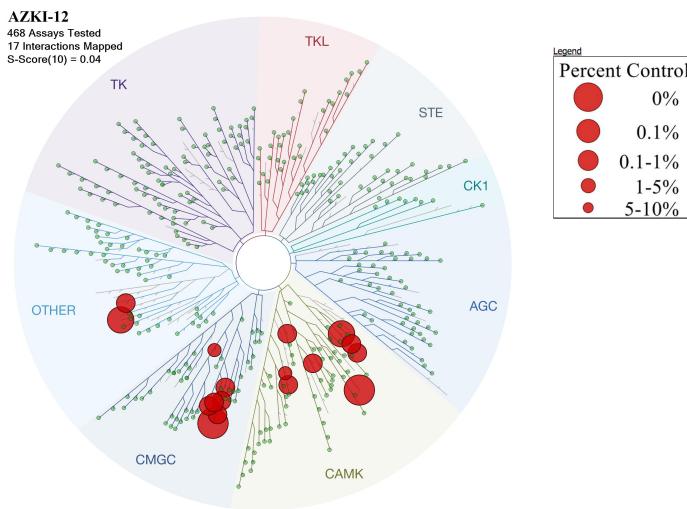
Reference: Dowling, J .E.; *et al.* “Potent and selective CK2 kinase inhibitors with effects on Wnt pathway signaling *in vivo*.” *ACS Med Chem Lett.* **2016**, 7, 300–305.

Biochemical profiling

DiscoverX (403 wild-type human kinases)

S₁₀ (1μM): 0.042 (17 kinases < 10% control)

DYRK2 K_d (DiscoverX) = 4.3 nM



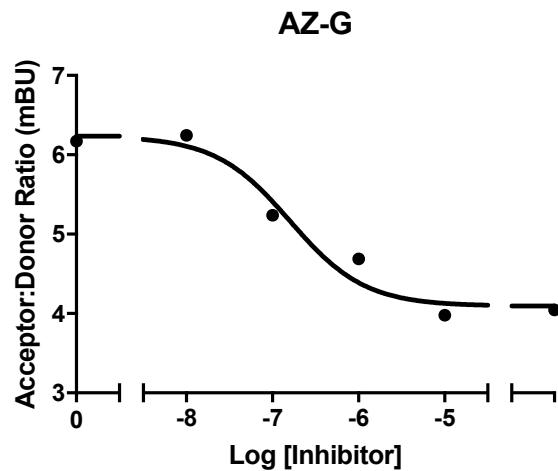
List of kinases inhibited < 10% control

Kinase	% Control @ 1μM
RPS6KA4	0.1
HIPK2	0.1
CSNK2A2	0.5
DAPK2	0.7
DAPK3	1.1
CHEK2	1.4
HIPK3	1.6
DAPK1	1.8
HIPK1	1.9
DYRK1A	2.1
DCAMKL3	2.2
BUB1	3.7
HIPK4	4.1
PIM3	4.7

Cellular target engagement in HEK293 cells

DYRK2-NLuc (C term)

DYRK2 IC₅₀ = 160 nM



Cellular target engagement of AZ-G with DYRK2