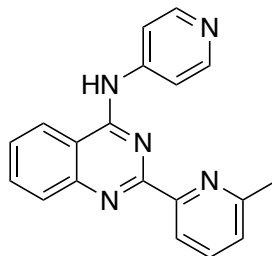


PKN3



NK-215/GW855857

Chemical Name: 2-(6-methylpyridin-2-yl)-N-(pyridin-4-yl)quinazolin-4-amine

CHEBI:143120

Smile String:

CC1=CC=CC(C2=NC3=CC=CC=C3C(NC4=CC=NC=C4)=N2)=N1

Chemical Formula: C₁₉H₁₅N₅

Molecular Weight: 313.36

cLogP: 1.823

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.

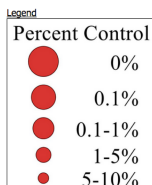
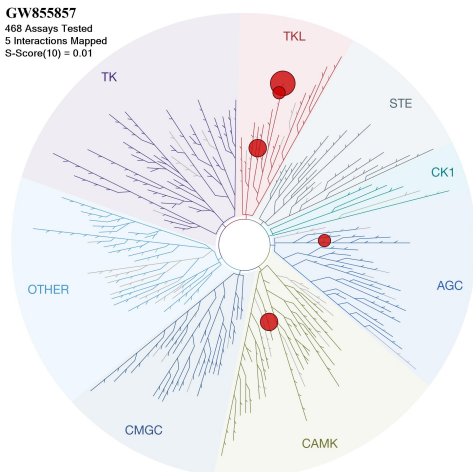
Biochemical profiling

DiscoverX (403 wild-type human kinases)

S₁₀ (1 μ M): 0.012 (5 kinases < 10% control)

PKN3 IC₅₀ (Luceome) = 130 nM

GW855857
468 Assays Tested
5 Interactions Mapped
S-Score(10) = 0.01



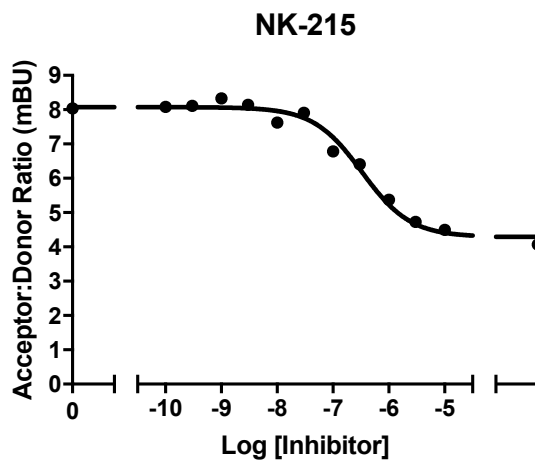
Kinase	% Control @ 1uM
TGFBR1	0.5
PRKD2	1
TGFBR2	4.3
ACVR1B	5.7
CIT	8.9

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

Cellular target engagement in HEK293 cells

PKN3-NLuc (C term)

PKN3 IC₅₀ = 331 nM



Cellular target engagement of NK-215 with PKN3

Synthetic Route:

