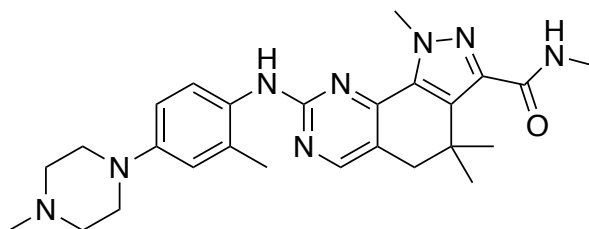


# HIPK4



PA-16-0081C

**Chemical Name:** *N*,1,4,4-tetramethyl-8-((2-methyl-4-(4-methylpiperazin-1-yl)phenyl)amino)-4,5-dihydro-1*H*-pyrazolo[4,3-*h*]quinazoline-3-carboxamide

**CHEBI:** 144673

**Smile String:**

CN(CC1)CCN1C2=CC=C(NC3=NC=C(CC(C)(C)C4=C5N(C)N=C4C(NC)=O)C5=N3)C(C)=C2

**Chemical Formula:** C<sub>26</sub>H<sub>34</sub>N<sub>8</sub>O

**Molecular Weight:** 474.61

**cLogP:** 1.427

**Source:** SGC-UNC

**Reference:** N/A

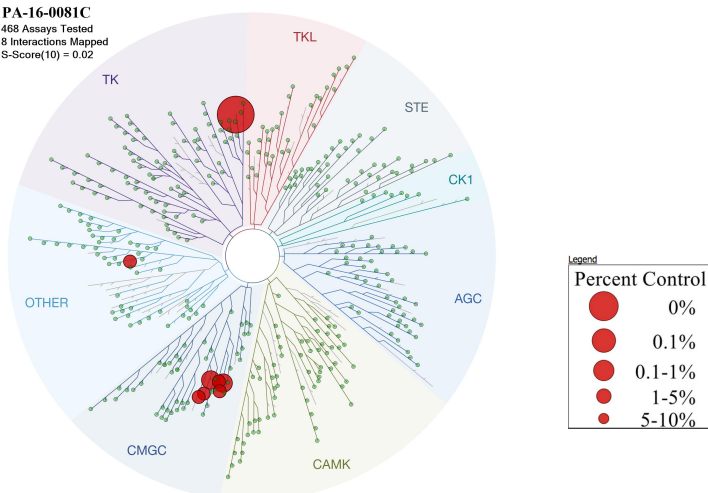
## Biochemical profiling

DiscoverX (403 wild-type human kinases)

**S<sub>10</sub> (1 μM):** 0.02 (8 kinase < 10% control)

**HIPK4 K<sub>d</sub> = 72 nM**

PA-16-0081C  
468 Assays Tested  
8 Interactions Mapped  
S-Score(10) = 0.02



Kinase	% Control @ 1uM
MERTK	0
DYRK1B	1.9
CLK1	2.2
CLK2	5.6
DYRK2	6.4
DYRK1A	6.6
CLK4	7.1
GAK	8.2
TTK	14
HIPK4	16

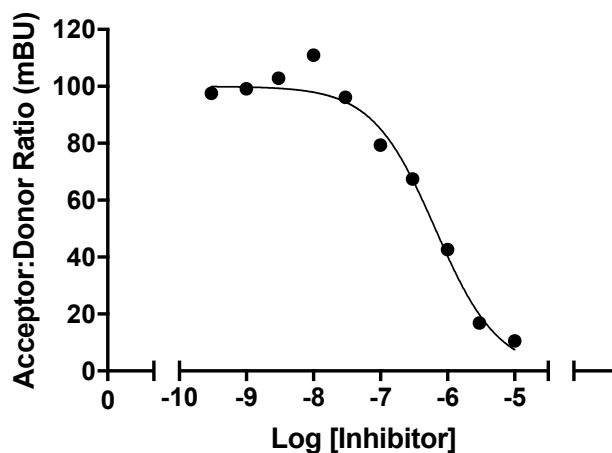
a. Treemap of DiscoverX KINOMEScan data. b. List of kinases inhibited < 20% control

## Cellular target engagement in HEK293 cells

NLuc-HIPK4 (N term)

HIPK4 IC<sub>50</sub> = 655 nM

PA-16-0081C



Cellular target engagement of PA-16-0081C with HIPK4

## Synthetic Route:

