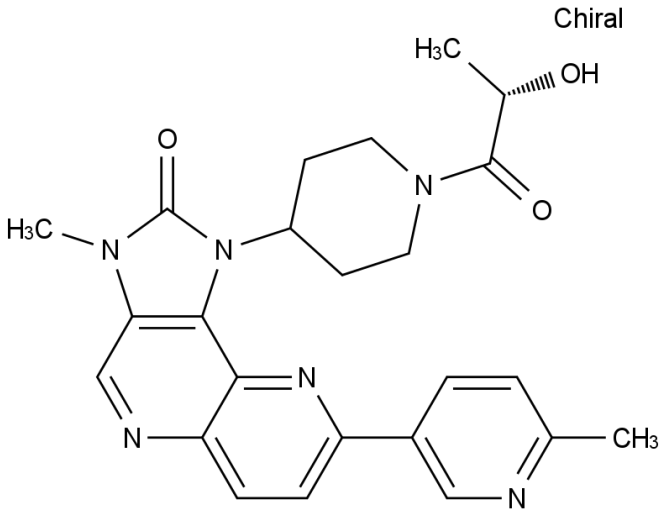
PF-04979064

SYN-1194



(S)-1-(1-(2-hydroxypropanoyl)piperidin-4-yl)-3-methyl-8-(6-methylpyridin-3-yl)-1H-imidazo[4,5-c][1,5]naphthyridin-2(3H)-one

CAS Registry No.: 1220699-06-8

Smiles String:
O=C1N(C2CCN(C([C@H](C)O)=O)CC2)C3=C(N1C)C=NC4=CC=C(C5=CN=C(C)C=C5)N=C43

Molecular Weight: 446.5

Molecular Formula: C24H26N6O3

Lot Number: Refer to vial

1H-NMR: Available on request

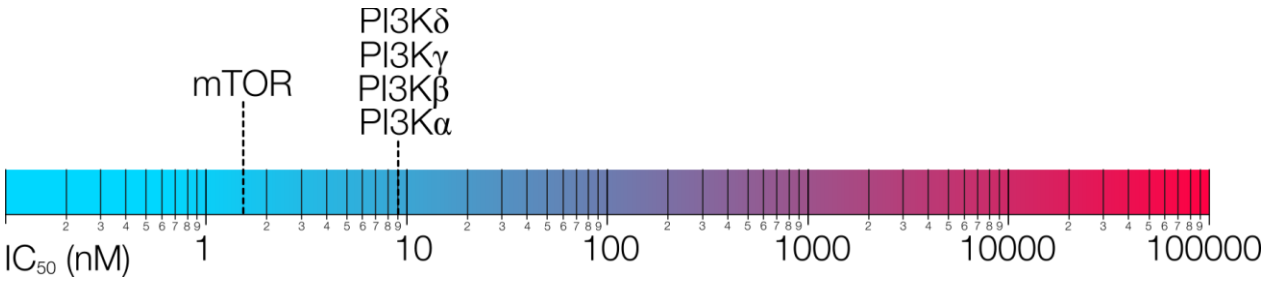
HPLC (Purity): > 95.0% @ 254 nm

ES-MS: Available on request

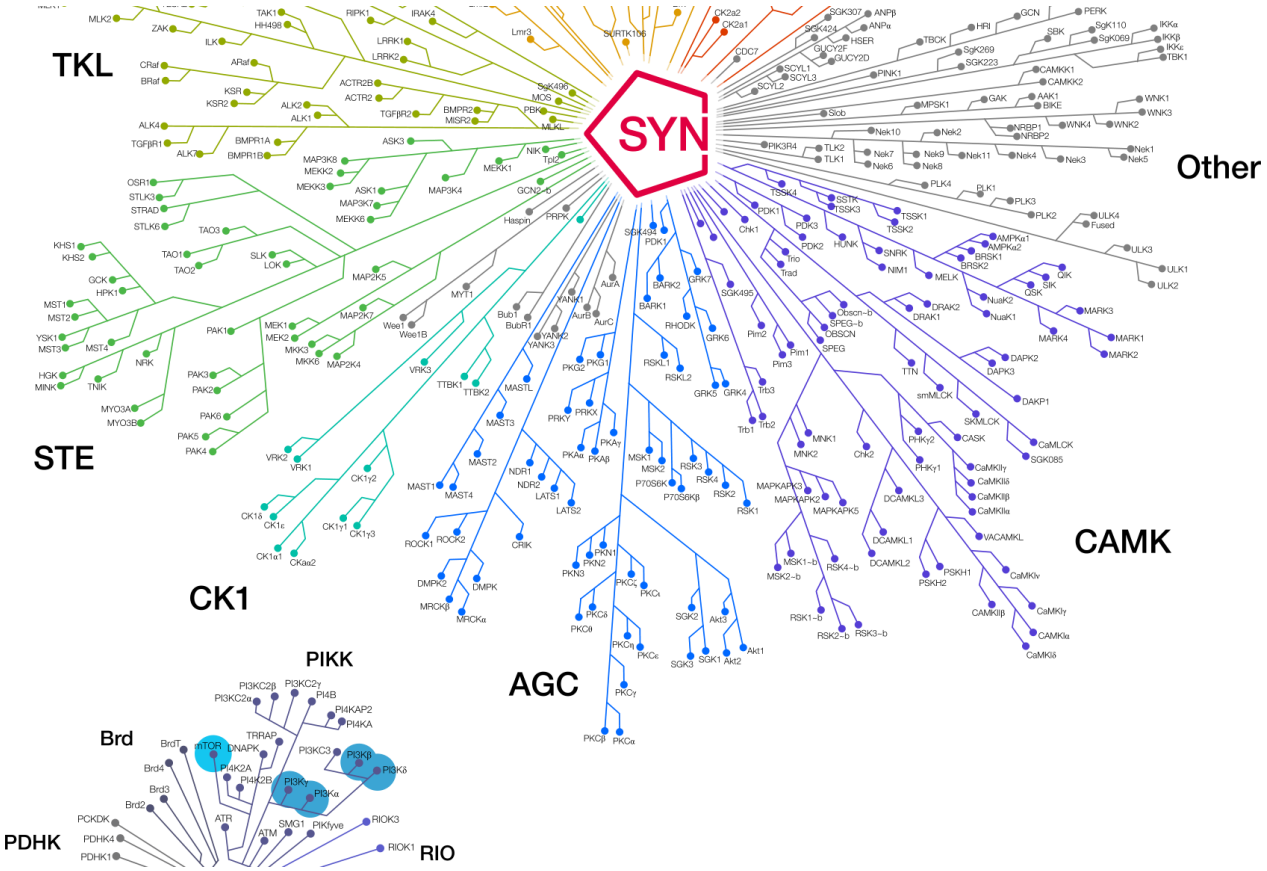
Description:

PF-04979064 is a potent and selective PI3K/mTOR dual kinase inhibitor with an IC50 of 9.1 nM for the Pi3K family when tested AKT phosphorylation in BT20 cells. In direct kinase assay, PF-04979064 had potent Ki values against PI3Kalpha (Ki= 0.13 nM human, 0.299 mouse) and PI3Kgamma (Ki=0.111 nM), and P13Kdelta (Ki=0.122 nM). In contrast, Ki for non-PI3K kinases such as mTOR was 10X great at 1.42 nM.

Biological Activity



Kinome Mapping



Shipping and Storage Temperature

Shipping:
Ambient

Storage:
2 years -20C, Powder 1 month, -4C in DMSO, More than one month -80C in DMSO

Solubility

20 mM in DMSO

Preparing Stock Solutions

Stock Solution (1ml DMSO)	1mM	10mM	20mM	50mM
Mass(mg)	0.4465	4.4650	8.9300	22.3250

References

1. Cheng H, Li C, Bailey S, Baxi SM, Goulet L, Guo L, Hoffman J, Jiang Y, Johnson TO, Johnson TW, Knighton DR, Li J, Liu KK, Liu Z, Marx MA, Walls M, Wells PA, Yin MJ, Zhu J, Zientek M. Discovery of the Highly Potent PI3K/mTOR Dual Inhibitor PF-04979064 through Structure-Based Drug Design. ACS Med Chem Lett. 2012 Nov 7;4(1):91-7. doi: 10.1021/ml300309h. eCollection 2013 Jan 10. PubMed PMID: 24900568; PubMed Central PMCID: PMC4027523.

Ordering Information

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