PF-04979064

Cat. No.: HY-100398

CAS No.: 1220699-06-8

Molecular Formula: $C_{24}H_{26}N_6O_3$

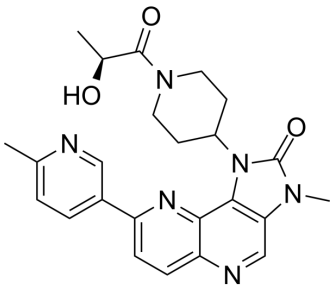
Molecular Weight: 446.5

Target: PI3K; mTOR

Pathway: PI3K/Akt/mTOR

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (22.40 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2396 mL	11.1982 mL	22.3964 mL
	5 mM	0.4479 mL	2.2396 mL	4.4793 mL
	10 mM	0.2240 mL	1.1198 mL	2.2396 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1 mg/mL (2.24 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 1 mg/mL (2.24 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1 mg/mL (2.24 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-04979064 is a potent and selective PI3K/mTOR dual kinase inhibitor with K_is of 0.13 nM and 1.42 nM for PI3Kα and mTOR, respectively.

IC_{50} & Target

PI3Kα	PI3Kγ	PI3Kδ	mTOR
0.13 nM (Ki)	0.111 nM (Ki)	0.122 nM (Ki)	1.42 nM (Ki)

In Vitro

PF-04979064 is tested against human class I PI3K isoforms PI3Kα, PI3Kγ, and PI3Kδ, with PI3Kα K_i of 0.13 nM, PI3Kγ K_i of

	0.111 nM, and PI3Kδ K_i of 0.122 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-04979064 is progressed to rat in vivo PK studies and exhibits robust PK profile: Vdss=5.23 L/kg, Cl=19.3 mL/min/kg, $T_{1/2}$ =1.85 h, and F%=61%[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mol Immunol. 26 December 2021.

See more customer validations on www.MedChemExpress.com

REFERENCES

[1]. Cheng H et al. 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.

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