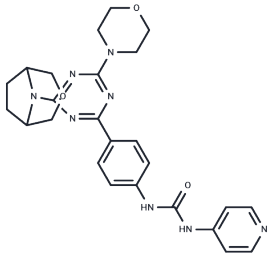
Data Sheet (Cat.No.T36084)

TargetMol

PKI-179

Chemical Properties



CAS No. : 1197160-28-3

Formula: C25H28N8O3

Molecular Weight: 488.54

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	PKI-179 is a potent, orally active compound that functions as a dual PI3K/mTOR inhibitor. It demonstrates IC50 values of 8 nM for PI3K-α, 24 nM for PI3K-β, 74 nM for PI3K-γ, 77 nM for PI3K-δ, and 0.42 nM for mTOR. Additionally, it is effective against E545K and H1047R mutations, with IC50s of 14 nM and 11 nM, respectively. In vivo studies have shown that PKI-179 possesses anti-tumor capabilities[1][2].
Targets(IC50)	Others,mTOR,PI3K
In vitro	PKI-179 inhibits cell proliferation with IC50s of 22 nM and 29 nM for MDA361 and PC3 cells, respectively[1]. It shows inhibitory activity against a panel of 361 other kinases, hERG, and cytochrome P450 (CYP) isoforms at concentrations up to >30 μM, but remains active for CYP2C8 (IC50=3 μM)[1].
In vivo	PKI-179 (5-50 mg/kg; p.o. once daily for 40 days) inhibits tumor growth and is well tolerated in nude mice bearing MDA-361 human breast cancer tumors. PKI-179 (50 mg/kg; p.o.) effectively inhibits PI3K signaling in nude mice with MDA361 tumor xenografts. PKI-179 demonstrates good oral bioavailability (98% in nude mouse, 46% in rat, 38% in monkey, and 61% in dog) and a high half-life (>60 min) [1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.0469 mL	10.2346 mL	20.4692 mL
5 mM	0.4094 mL	2.0469 mL	4.0938 mL
10 mM	0.2047 mL	1.0235 mL	2.0469 mL
50 mM	0.0409 mL	0.2047 mL	0.4094 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Venkatesan AM, et, al. PKI-179: an orally efficacious dual phosphatidylinositol-3-kinase (PI3K)/mammalian target of rapamycin (mTOR) inhibitor. Bioorg Med Chem Lett. 2010 Oct 1;20(19):5869-73.
Rehan M. A structural insight into the inhibitory mechanism of an orally active PI3K/mTOR dual inhibitor, PKI-179 using computational approaches. J Mol Graph Model. 2015 Nov;62:226-234.