

Product Data Sheet

Product Name: PKI-179
Cat. No.: GC62140

Chemical Properties

Cas. No. 1197160-28-3

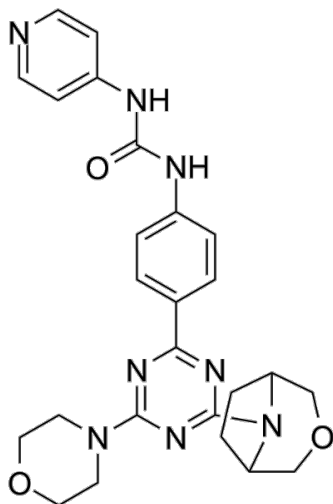
Formula $C_{25}H_{28}N_8O_3$ M.Wt 488.54

Solubility Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

PKI-179 is a potent and orally active dual PI3K/mTOR inhibitor, with IC_{50} s of 8 nM, 24 nM, 74 nM, 77 nM, and 0.42 nM for PI3K- α , PI3K- β , PI3K- γ , PI3K- δ and mTOR, respectively. PKI-179 also exhibits activity over E545K and H1047R, with IC_{50} s of 14 nM and 11 nM, respectively. PKI-179 shows anti-tumor activity in vivo[1][2].

PKI-179 inhibits the cell proliferation, with IC_{50} s of 22 nM and 29 nM for MDA361 and PC3 cells, respectively[1]. PKI-179 shows inhibitory activity against a panel of 361 other kinases, hERG and cytochrome P450 (CYP) isoforms at concentrations up to $>30\text{ }\mu\text{M}$, but does have activity for CYP2C8

Caution: Product has not been fully validated for medical applications. For research use only.

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(IC₅₀=3 μ M)[1].

PKI-179 (5-50 mg/kg; p.o. once daily for 40 days) inhibits the tumor growth and is well tolerated in nude mice bearing MDA-361 human breast cancer tumors[1]. PKI-179 (50 mg/kg; p.o.) results in good inhibition of PI3K signaling in nude mice bearing MDA361 tumor xenografts[1]. PKI-179 exhibits 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].

[1]. 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.

[2]. 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.

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