Web: www.taiclone.com
Tel: +886-2-2735-9682
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PKI-402

Catalog No: tcsc0565

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1173204-81-3

Formula:
$C_{29}H_{34}N_{10}O_3$

Pathway:
PI3K/Akt/mTOR;PI3K/Akt/mTOR

Target:
PI3K;mTOR

Purity / Grade:
>98%

Solubility:
DMSO :

Observed Molecular Weight:
570.65

Product Description

PKI-402 is a selective, reversible, ATP-competitive inhibitor of **PI3K**, including PI3K-α mutants, and **mTOR** (**IC_{50}**=2, 3, 7,14 and 16

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nM for PI3Kα, mTOR, PI3Kβ, PI3Kδ and PI3Kγ).

IC50 & Target: IC50: 2 nM (PI3Kα), 3 nM (mTOR), 7 nM (PI3Kβ),14 nM (PI3Kδ), 16 nM (PI3Kγ)[1]

In Vitro: PKI-402 is an equipotent inhibitor of class I PI3K, including the E545K and H1047R PI3K-α mutants (IC_{50}=2, 3 and 3 nM for PI3Kα, PI3Kα-H1047R and PI3Kα-E545K, respectively). PKI-402 causes in vitro growth inhibition of human tumor cell lines derived from a diverse set of human tumor tissues, including breast, brain (glioma), pancreas, and non-small cell lung cancer (NSCLC) tissues. PKI-402 inhibits MDA-MB-361 [breast: $Her2^+$ and *PIK3CA* mutant (E545K)], with an IC_{50} of 6 nM. PKI-402 inhibits HCT116 (K-Ras and *PIK3CA* mutant) with an IC_{50} of 33 nM[1].

In Vivo: PKI-402 displays antitumor activity (i.v. route) in breast [MDA-MB-361: $Her2^+$ and PIK3CA (E545K)], glioma (U87MG and PTEN), and NSCLC (A549; K-Ras and STK11) xenograft models. PKI-402 causes regression in the MDA-MB-361 xenograft model. PKI-402 effect is most pronounced at 100 mg/kg (daily for 5 days, one round), which reduces initial tumor volume and prevents tumor re-growth for 70 days. In MDA-MB-361 tumor tissue, PKI-402 at 100 mg/kg (single dose) fully suppresses p-Akt at both the T308 and the S473 sites at 8 hours and induces cleaved PARP. At 24 hours, p-Akt suppression is still evident, as is cleaved PARP[1].