

## PKI-402

Catalog No: tcsc0565



### Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



### Specifications

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**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

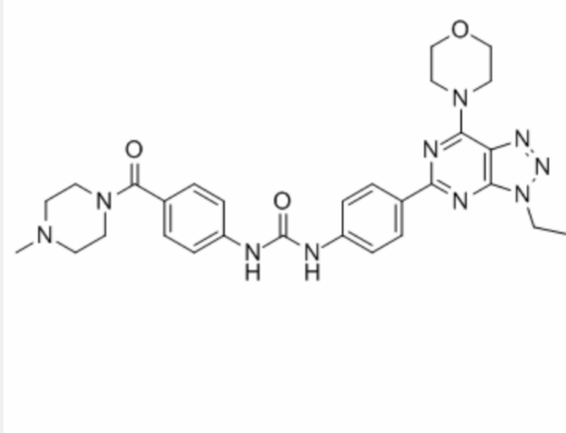
PKI-402 is a selective, reversible, ATP-competitive inhibitor of **PI3K**, including PI3K- $\alpha$  mutants, and **mTOR** ( $IC_{50}$ =2, 3, 7,14 and 16

nM for PI3K $\alpha$ , mTOR, PI3K $\beta$ , PI3K $\delta$  and PI3K $\gamma$ ).

IC<sub>50</sub> & Target: IC<sub>50</sub>: 2 nM (PI3K $\alpha$ ), 3 nM (mTOR), 7 nM (PI3K $\beta$ ), 14 nM (PI3K $\delta$ ), 16 nM (PI3K $\gamma$ )<sup>[1]</sup>

**In Vitro:** PKI-402 is an equipotent inhibitor of class I PI3K, including the E545K and H1047R PI3K- $\alpha$  mutants (IC<sub>50</sub>=2, 3 and 3 nM for PI3K $\alpha$ , PI3K $\alpha$ -H1047R and PI3K $\alpha$ -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<sup>+</sup> and *PIK3CA* mutant (E545K)], with an IC<sub>50</sub> of 6 nM. PKI-402 inhibits HCT116 (K-Ras and *PIK3CA* mutant) with an IC<sub>50</sub> of 33 nM<sup>[1]</sup>.

**In Vivo:** PKI-402 displays antitumor activity (i.v. route) in breast [MDA-MB-361: Her2<sup>+</sup> 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<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!