

# ETP-46321

Catalog No: tcsc3350



## Available Sizes

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Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



## Specifications

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**CAS No:**

1252594-99-2

**Formula:**

$C_{20}H_{27}N_9O_3S$

**Pathway:**

PI3K/Akt/mTOR

**Target:**

PI3K

**Purity / Grade:**

>98%

**Solubility:**

DMSO :  $\geq 33$  mg/mL (69.69 mM)

**Observed Molecular Weight:**

473.55

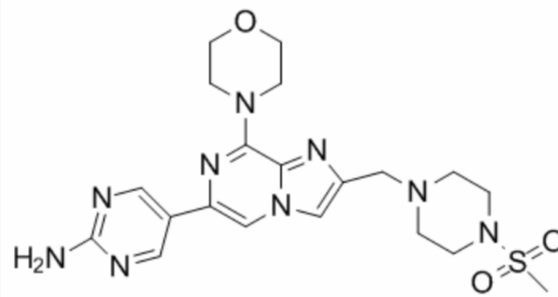
## Product Description

ETP-46321 is a potent and orally bioavailable **PI3K $\alpha$**  and **PI3K $\delta$**  inhibitor with **K<sub>iapp</sub>**s of 2.3 and 14.2 nM, respectively.

IC50 & Target: Kiapp: 2.3 nM (p110α), 14.2 nM (p110δ), 170 nM (p110β), 179 nM (p110γ), 1.77 nM (PI3Kα-E545K), 1.89 nM (PI3Kα-E542K), 2.33 nM (PI3Kα-H1047R)<sup>[1]</sup>

**In Vitro:** ETP-46321 is selected to be screened against other PI3K isoforms. ETP-46321 is more potent against isoform α ( $K_{iapp} = 2.3$  nM). ETP-46321 has been profiled and shown to be a potent PI3K α and δ inhibitor, highly selective versus mTOR and 288 representative kinases. ETP-46321 is also tested against three of the p110α mutant enzymes detected in human cancers (E542K, E545K and H1047R), being equipotent against these mutants when compared to the wild type protein ( $K_{iapp} = 2.33, 1.77$  and  $1.89$  nM for PI3Kα-H1047R, PI3Kα-E545K and PI3Kα-E542K, respectively). ETP-46321 inhibits the phosphorylation of AKT in U2OS cell line with an  $IC_{50}$  of  $8.3$  nM<sup>[1]</sup>.

**In Vivo:** ETP-46321 is selected for in vivo studies based on its appealing pharmacokinetic profile in BALB-C mice, low in vivo Clearance (0.6 L/h/Kg) and good oral bioavailability (90%). ETP-46321 demonstrates a good pharmacokinetic profile in mice and is selected for preliminary in vivo evaluation in a lung tumor mouse model driven by a K-RasG12V oncogenic mutation, showing significant tumor growth inhibition, and reduction of the tumor metabolic activity as measured by positron emission tomography (PET) techniques<sup>[1]</sup>.



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