Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

ETP-46321

Catalog No: tcsc3350

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1252594-99-2

Formula:
$C_{20}H_{27}N_9O_3S$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 33 mg/mL (69.69 mM)

Observed Molecular Weight:
473.55

Product Description

ETP-46321 is a potent and orally bioavailable **PI3Kα** and **PI3Kδ** inhibitor with $\mathbf{K_{iapp}}$s of 2.3 and 14.2 nM, respectively.

Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

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)[1]

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-4632, 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 phosphorilation of AKT in U2OS cell line with an IC_{50} of 8.3 nM[1].

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[1].