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

PI3kδ inhibitor 1

Catalog No: tcsc0675

Available Sizes

Size: 5mg

Specifications

CAS No:
1332075-63-4

Formula:
$C_{28}H_{33}FN_6O_2$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Observed Molecular Weight:
504.6

Product Description

PI3kδ inhibitor 1 is a potent and selective **PI3Kδ** inhibitor with an **IC_{50}** of 3.8 nM.

IC50 & Target: IC50: 3.8 nM (PI3Kδ)[1]

In Vitro: PI3kδ inhibitor 1 (Compound 3) is a potent inhibitor of PI3Kδ that is 200-400 fold selective for all three remaining Class I PI3K isoforms and extremely selective relative to 239 kinases tested in SelectScreen service (0/239 kinases showing >50% inhibition when tested at 1 μM; mTOR, DNA-PK, VPS34, PI4Kα and PI4Kβ are inhibited at 10% or less when tested at 1 μM; PIKC2A and PIKC2B are inhibited at 11% and 42%, respectively, at this same concentration and show less than 10% inhibition when tested at 0.1 μM; the

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PIKK family kinases ATM and ATR are not assessed)[1].

In Vivo: The pharmacokinetic properties of PI3kδ inhibitor 1 (Compound 3) are evaluated in mice and rats when dosed IV and orally. Good plasma exposures and reasonable half-lives are observed upon oral dosing, a reflection of high oral bioavailability (80% and 90% at a low dose for mouse and rat, respectively), moderate volume of distribution, and moderate clearance. PI3kδ inhibitor 1 has moderate terminal elimination half-life ($t_{1/2}$=2.6 h, 2.9 h, 5 h, 2.6, 3.8 and 4.8 h for mouse (5 mg/kg, po), mouse (20 mg/kg, po), mouse (40 mg/kg, po), rat (5 mg/kg, po), rat (10 mg/kg, po), rat (30 mg/kg, po)). Plasma exposures and Cmax levels increase with dose in both mice and rats, important in that inflammatory disease models utilize these two species. Plasma protein binding for PI3kδ inhibitor 1 ranges from 80-88% in rodents and is consistent with values obtained in human plasma (86%)[1].