Web: www.taiclone.com
Tel: +886-2-2735-9682
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GNE-493

Catalog No: tcsc3358

Available Sizes

Size: 5mg

Size: 10mg

Specifications

CAS No:
1033735-94-2

Formula:
$C_{17}H_{20}N_6O_2S$

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

Target:
PI3K;mTOR

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 45 mg/mL (120.82 mM)

Observed Molecular Weight:
372.44

Product Description

GNE-493 is a potent, selective, and orally available dual **pan-PI3-kinase/mTOR** inhibitor with **IC_{50}**s of 3.4 nM, 12 nM, 16 nM, 16 nM and 32 nM for PI3Kα, PI3Kβ, PI3Kδ, PI3Kγ and mTOR.

IC50 & Target: IC50: 3.4 nM (PI3Kα), 12 nM (PI3Kβ), 16 nM (PI3Kδ), 16 nM (PI3Kγ), 32 nM (mTOR)[1]

In Vitro: GNE-493 is a low molecular weight, potent dual inhibitor of pan-PI3 kinases and mTOR. GNE-493 displays approximately

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equipotent inhibition of Class I PI3K isoforms, is submitted for screening in a 142 kinase panel provided by Invitrogen's SelectScreen service. Of these kinases, only three are subject to greater than 50% inhibition by GNE-493, and none are inhibited greater than 80% when tested at 1 μM. Subsequently measured IC50s demonstrated that GNE-493 is more than 100-fold selective for PI3Kα over these three unrelated kinases (Aurora A IC_{50}>10 μM, MLK1 IC_{50}=591 nM and SYK IC_{50}=371 nM)[1].

In Vivo: To confirm and compare in vivo efficacy, GNE-493 is examined in the human MCF7.1 breast cancer xenograft model that harbors a PI3Kα activating mutation. Mice bearing xenografts are dosed orally once daily with 10 mg/kg of GNE-493 for 21 continuous days. Similar to observations made in the PC3 prostate cancer xenograft model, 10 mg/kg of GNE-493 results in 73% tumor growth inhibition at day 21 when compared to vehicle control animals. When achieving comparable levels of drug exposure, GNE-493 shows a similar suppression of the PI3K pathway and consequently, a similar efficacy profile against MCF7.1 breast tumors [1].