

# 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 :  $\geq 45$  mg/mL (120.82 mM)

**Observed Molecular Weight:**

372.44

## Product Description

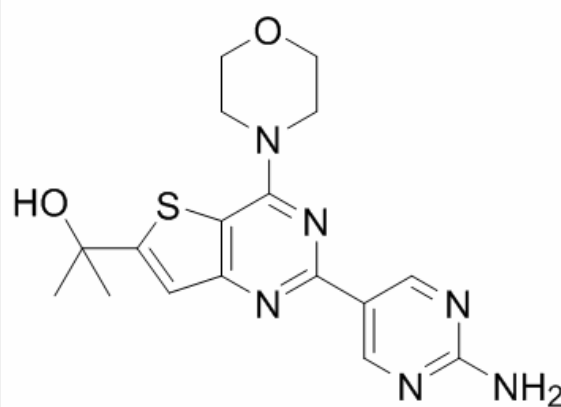
GNE-493 is a potent, selective, and orally available dual **pan-PI3-kinase/mTOR** inhibitor with **IC<sub>50</sub>**s of 3.4 nM, 12 nM, 16 nM, 16 nM and 32 nM for PI3K $\alpha$ , PI3K $\beta$ , PI3K $\delta$ , PI3K $\gamma$  and mTOR.

IC50 & Target: IC50: 3.4 nM (PI3K $\alpha$ ), 12 nM (PI3K $\beta$ ), 16 nM (PI3K $\delta$ ), 16 nM (PI3K $\gamma$ ), 32 nM (mTOR)<sup>[1]</sup>

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

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  $\mu$ M. Subsequently measured IC<sub>50</sub>s demonstrated that GNE-493 is more than 100-fold selective for PI3K $\alpha$  over these three unrelated kinases (Aurora A IC<sub>50</sub>>10  $\mu$ M, MLK1 IC<sub>50</sub>=591 nM and SYK IC<sub>50</sub>=371 nM)<sup>[1]</sup>.

**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 PI3K $\alpha$  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].



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