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

GNE-617

Catalog No: tcsc1695

Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1362154-70-8

Formula:
$C_{21}H_{15}F_2N_3O_3S$

Pathway:
Metabolic Enzyme/Protease

Target:
Nampt

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 42.85 mg/mL (100.25 mM)

Observed Molecular Weight:
427.42

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Product Description

GNE-617 is a specific **NAMPT** inhibitor that inhibits the biochemical activity of NAMPT with an **IC_{50}** of 5 nM and exhibits efficacy in xenograft models of cancer.

IC50 & Target: IC50: 5 nM (NAMPT)[1]

In Vitro: The activity ofGNE-617 hydrochloride is evaluated on a panel 53 non-small cell lung cancer (NSCLC) cell lines in the presence or absence of 10 μM nicotinic acid. GNE-617 inhibits NAMPT IC50 of 18.9 nM in A549 cell.The majority of cell lines exhibit a steep dose response to GNE-617 when evaluated by decrease in ATP or total nucleic acid, and the cytotoxicity is completely rescued by simultaneous addition of nicotinic acid. The majority of the cell lines tested have IC_{50} values below 100 nM, with approximately half with IC_{50} values less than 10 nM. Eighteen cell lines are not rescued with nicotinic acid, and these non-rescuable cell lines tended to have lower IC_{50} values (P=0.008, Fisher exact test, IC_{50}[1].

In Vivo: In rats, GNE-617 hydrochloride (administered QD) and GNE-875 (administered BID) are associated with more severe retinal toxicity at similar exposures and dosing duration compared with GMX-1778 (administered BID). The mouse efficacy studies using GNE-617, GNE-618, and GMX-1778 are designed to assess efficacy and opportunistically used to assess retinal toxicity in mice. NAMPTi retinal toxicity is observed with GNE-617 and GMX-1778; however, the different study durations between GNE-617 and GMX-1778 do not allow for direct comparison of retinal toxicity[2].