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

GSK2656157

Catalog No: tcsc3262

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
1337532-29-2

Formula:
$C_{23}H_{21}FN_6O$

Pathway:
Cell Cycle/DNA Damage

Target:
PERK

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 41 mg/mL (98.45 mM)

Observed Molecular Weight:
416.45

Product Description

GSK2656157 is an ATP-competitive inhibitor of **PERK** enzyme activity with an **IC_{50}** value of 0.9 nM, and highly selective for PERK with IC_{50} values of > 100 nM against a panel of 300 kinases.

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IC50 & Target: IC50: 0.9 nM (PERK)

In Vitro: GSK2656157 results in inhibition of PERK activation as well as decreases in the downstream substrates, phospho-eIF2α, ATF4, and CHOP with an IC_{50} in the range of 10-30 nM in the BxPC3 pancreatic tumor cell line. Cells that are exposed to 1 μM GSK2656157 before UPR induction are able to block this effect on de novo protein synthesis[1]. GSK2656157 causes the activation of another eIF2α kinase to compensate for the loss of PERK activity in HT1080 cells. GSK2656157 inhibits the growth of the HT1080 cells [2]. GSK2656157 inhibits LPS-induced IL-1β production, LPS-induced Caspase 1 activation and LPS-induced eIF-2α phosphorylation, but does not inhibit LPS-induced TNF-α production[3].

In Vivo: GSK2656157 (1.5-150 mg/kg, p.o.) results in dose-dependent inhibition of phospho-PERK Thr980, with more than 80% inhibition at 50 and 150 mg/kg. GSK2656157 (50-150 mg/kg, p.o.) results in dose-dependent inhibition of tumor growth in human tumor xenograft models[1].