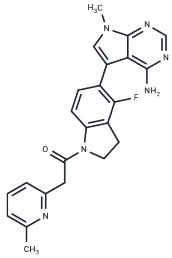
Data Sheet (Cat.No.T2654)

TargetMol

GSK2656157

Chemical Properties



CAS No. : 1337532-29-2

Formula: C23H21FN6O

Molecular Weight: 416.45

Appearance: no data available

Storage: store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GSK2656157 is a highly specific and ATP-competitive PERK inhibitor (IC50: 0.9 nM) in a cell-free assay. The selectivty is 500-fold higher against a panel of 300 kinases.
Targets(IC50)	Apoptosis,Autophagy,PERK
In vitro	GSK2656157 inhibits the growth of various human xenograft tumors in mice. Administered at a dose of 50 mg/kg orally, GSK2656157 completely suppresses phospho-PERK Thr980 after 8 hours. Furthermore, with dosages of 50/150 mg/kg administered twice daily, GSK2656157 exhibits a dose-dependent suppression of tumor growth in four different mouse cancer models, achieving a 54-114% inhibition of tumor growth at 150 mg/kg.
In vivo	GSK2656157 (1 mM) can induce the unfolded protein response (UPR) and inhibit de novo protein synthesis. It downregulates 6% of UPR-related genes (PPP1R15A, HERPUD1, DDIT3, C/EBP-β, and ERN1), with a reduction exceeding fourfold for these targets. Pre-treatment with GSK2656157 inhibits PERK activation and decreases downstream substrates, including phospho-eIF2a, ATF4, and CHOP (IC50: 10-30 nM). In the absence of exogenous UPR inducers, GSK2656157 does not affect cell growth (IC50: 6-25 mM).
Kinase Assay	Kinase assay: Inhibitory potency of GSK2656157 is measured using recombinant GST-PERK (536–1116 amino acids) with 6-His-full-length human eIF2a as a substrate. Kinase selectivity is evaluated using 27 kinases at GSK as well as a panel of 300 kinases.
Cell Research	Antiproliferative activity of GSK2656157 against multiple human tumor cell lines as well as primary human microvascular endothelial cells is evaluated in a 3-day proliferation assay using standard culture medium. In the absence of exogeneous UPR inducers, GSK2656157 has no significant effect on the growth of any of these cells with IC50 range of 6–25 mM. (Only for Reference)

Solubility Information

Solubility	H2O: < 1 mg/mL (insoluble or slightly soluble), Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 30 mg/mL (72.04 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4012 mL	12.0062 mL	24.0125 mL
5 mM	0.4802 mL	2.4012 mL	4.8025 mL
10 mM	0.2401 mL	1.2006 mL	2.4012 mL
50 mM	0.048 mL	0.2401 mL	0.4802 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

Atkins C, et al. Cancer Res, 2013, 73(6), 1993-2002.
Tan M, Wang H, Gao C, et al. Agonists Specific for κ-Opioid Receptor Induces Apoptosis of HCC Cells Through Enhanced Endoplasmic Reticulum Stress. Frontiers in Oncology. 2022.12
Lu Y, Li D, Ai H, et al.Glucose-regulated protein 94 facilitates the proliferation of the Bombyx mori nucleopolyhedrovirus via inhibiting apoptosis.International Journal of Biological Macromolecules.2023: 127158.
Wang X, Xue Y, Hao K, et al.Sustained therapeutic effects of self-assembled hyaluronic acid nanoparticles loaded with α-Ketoglutarate in various osteoarthritis stages.Biomaterials.2025, 314: 122845.

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