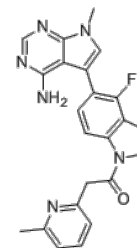


Product Name : GSK-2656157
Cat. No. : PC-49643
CAS No. : 1337532-29-2
Molecular Formula : C₂₃H₂₁FN₆O
Molecular Weight : 416.45
Target : PERK
Solubility : 10 mM in DMSO



Biological Activity

GSK2656157 (GSK 2656157) is a potent, selective and ATP-competitive inhibitor of **PERK** with biochemical IC₅₀ of 0.9 nM and cellular p-PERK IC₅₀ of 30 nM.

GSK2656157 shows selectivity (>500-fold) over HRI, PKR, and GCN2, other members of the EIF2AK family, and high IC₅₀ values (>100 nM) against a panel of 300 kinases.

GSK2656157 inhibits stress-induced PERK autophosphorylation, eIF2α substrate phosphorylation, together with corresponding decreases in ATF4 and CAAT/enhancer binding protein homologous protein (CHOP) in multiple cell lines.

Oral administration of GSK2656157 to mice shows a dose- and time-dependent pharmacodynamic response in pancreas as measured by PERK autophosphorylation.

GSK2656157 exhibited antitumor effect in multiple human tumor xenografts growth in mice.

References

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Krishnamoorthy J, et al. **Cell Cycle.** 2014;13(5):801-6.

Axten JM, et al. **ACS Med Chem Lett.** 2013 Aug 12;4(10):964-8.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com