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Data Sheet

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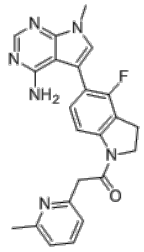
Product Name	:	GSK-2656157
Cat. No.	:	PC-49643
CAS No.	:	1337532-29-2
Molecular Formula	:	$C_{23}H_{21}FN_6O$
Molecular Weight	:	416.45
Target	:	PERK
Solubility	:	10 mM in DMSO



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

Atkins C, et al. ***Cancer Res***. 2013 Mar 15;73(6):1993-2002.

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.

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