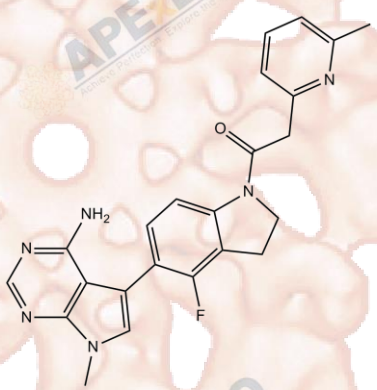
Product Data Sheet

GSK2656157



Cat. No.:	B2175
CAS No.:	1337532-29-2
Formula:	C23H21FN6O
M.Wt:	416.45
Synonyms:	
Target:	Cell Cycle/Checkpoint
Pathway:	PERK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾2.5 mg/mL in EtOH with gentle warming and ultrasonic; ⩾20.82 mg/mL in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	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

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	PERK inhibitor
IC_{50} & Target	0.9 nM (PERK)

In Vitro

Cell Viability Assay

Cell Line:	HT1080 cells
Preparation method:	The solubility of this compound in DMSO is > 20.8 mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	0.1-10 μM, 24 h

	Applications:	In HT1080 cells, 0.1 μM GSK2656157 was efficient to block the activity of PERK.
In Vivo	**Animal experiment**	
	Animal models:	Eight- to twelve-week-old naive female CD-1, female nu/nu CD-1 mice and severe combined immunodeficient (SCID) mice
	Dosage form:	50, 150 mg/kg, twice daily
	Applications:	After a single 50 mg/kg oral dose of GSK2656157, mice occurs inhibited phospho-PERK in the pancreas completely through 8 hours. And the activity of PERK was recovered to almost normal levels at 18 hours. Twice daily administration of 50 or 150 mg/kg GSK2656157 to mice resulted in an inhibition of multiple tumor xenografts growth in a dose-dependent manner.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Luo J, Xia Y, et al. "GRP78inhibition enhances ATF4-induced cell death by the deubiquitination and stabilization of CHOP in human osteosarcoma." Cancer Lett. 2017 Sep 22. pii:S0304-3835(17)30571-2.PMID:28947141

2. Rojas-Rivera D, Delvaeye T, et al. "When PERK inhibitors turn out to be new potent RIPK1 inhibitors:critical issues on the specificity and use of GSK2606414 and GSK2656157." Cell Death Differ. 2017 Jun;24(6):1100-1110.PMID:28452996

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References

[1]. Krishnamoorthy J, Rajesh K, Mirzajani F, et al. Evidence for eIF2α phosphorylation-independent effects of GSK2656157, a novel catalytic inhibitor of PERK with clinical implications[J]. Cell Cycle, 2014, 13(5): 801-806.

[2]. Atkins C, Liu Q, Minthorn E, et al. Characterization of a novel PERK kinase inhibitor with antitumor and antiangiogenic activity[J]. Cancer research, 2013, 73(6): 1993-2002.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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