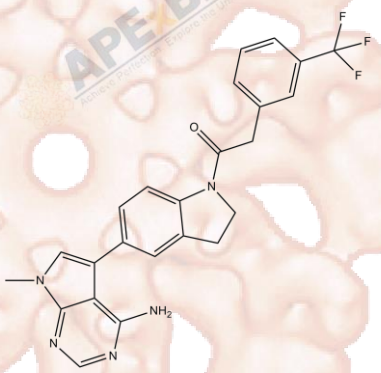
Product Data Sheet

GSK2606414



Cat. No.:	A3448
CAS No.:	1337531-36-8
Formula:	C24H20F3N5O
M.Wt:	451.44
Synonyms:	GSK 2606414;GSK-2606414
Target:	Cell Cycle/Checkpoint
Pathway:	PERK
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

≥22.57 mg/mL in DMSO; insoluble in H2O; ≥12.03 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.2151 mL	11.0757 mL	22.1513 mL
5 mM	0.4430 mL	2.2151 mL	4.4303 mL
10 mM	0.2215 mL	1.1076 mL	2.2151 mL

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

Biological Activity

Shortsummary	PERK inhibitor,potent and selective
IC_{50} & Target	0.4 nM (PERK)

In Vitro

Cell Viability Assay

Cell Line:	A459 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. 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:	0.003, 0.1 and 0.3 μM; 2 hrs

	Applications:	In A459 cells, GSK2606414 inhibited PERK Autophosphorylation with the IC50 value of < 0.3 μM.
In Vivo	**Animal experiment**	
	Animal models:	BxPC3 human pancreatic xenograft model
	Dosage form:	~ 150 mg/kg; p.o.
	Applications:	In mice, rats and dogs, GSK2606414 exhibited high oral availability, and low to moderate blood clearance. In mice bearing pancreatic human BxPC3 tumors, GSK2606414 inhibited tumor 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. Bowen Wang, Mengxue Zhang, et al. "PERK inhibition mitigates restenosis and thrombosis - a potential low-thrombogenic anti-restenotic paradigm." bioRxiv. 2019 March 18.

2. Chen L, Liu L, et al. "Protein kinase RNA-like ER kinase/eukaryotic translation initiation factor 2α pathway attenuates tumor necrosis factor alpha-induced apoptosis in nucleus pulposus cells by activating autophagy." J Cell Physiol. 2018 Dec 4.PMID:30515797

3. Lu Chen, Lei Liu, et al."Endoplasmic Reticulum Stress Facilitates the Survival and Proliferation of Nucleus Pulposus Cells in TNF-a Stimulus by Activating Unfolded Protein Response."DNA and Cell Biology,2018 Apr 1.

4. Hsieh YY, Lo HL, et al. "EZH2 inhibitors transcriptionally upregulate cytotoxic autophagy and cytoprotective unfolded protein response in human colorectal cancer cells." Am J Cancer Res. 2016 Aug 1;6(8):1661-80.PMID:27648357

See more customer validations on www.apexbt.com.

References

[1]. Axten JM, Medina JR, Feng Y, Shu A, Romeril SP, Grant SW, Li WH, Heerding DA, Minthorn E, Mencken T et al: Discovery of 7-methyl-5-(1-{[3-(trifluoromethyl)phenyl]acetyl}-2,3-dihydro-1H-indol-5-yl)-7H-p yrrolo[2,3-d]pyrimidin-4-amine (GSK2606414), a potent and selective first-in-class inhibitor of protein kinase R (PKR)-like endoplasmic reticulum kinase (PERK). J Med Chem, 55(16):7193-7207.

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.

APExBIO Technology
www.apexbt.com
7505 Fannin street, Suite 410, Houston, TX 77054.
Tel: +1-832-696-8203 | Fax: +1-832-641-3177 | Email: info@apexbt.com