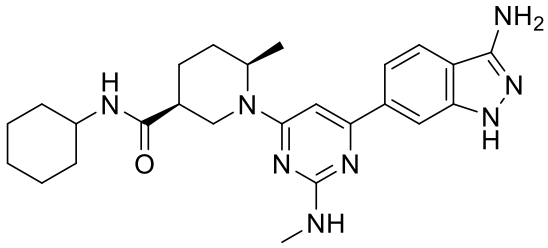
Product data sheet

MedKoo Cat#: 406156 Name: GSK2334470 CAS: 1227911-45-6 Chemical Formula: $C_{25}H_{34}N_8O$ Exact Mass: 462.28556 Molecular Weight: 462.602	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GSK2334470 is a potent 3-phosphoinositide-dependent protein kinase (PDK1) inhibitor (IC50 ~ 10 nM), which does not suppress the activity of 93 other protein kinases including 13 AGC-kinases most related to PDK1 at 500-fold higher concentrations. GSK2334470 also inhibited T-loop phosphorylation and activation of Akt. GSK2334470 will be a useful tool for delineating the roles of PDK1 in biological processes.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	20.0	43.23
DMSO	52.07	112.55
Ethanol	52.75	114.04
Ethanol:PBS (pH 7.2) (1:1)	0.5	1.08

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.16 mL	10.81 mL	21.62 mL
5 mM	0.43 mL	2.16 mL	4.32 mL
10 mM	0.22 mL	1.08 mL	2.16 mL
50 mM	0.04 mL	0.22 mL	0.43 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Yang C, Huang X, Liu H, Xiao F, Wei J, You L, Qian W. PDK1 inhibitor GSK2334470 exerts antitumor activity in multiple myeloma and forms a novel multitargeted combination with dual mTORC1/C2 inhibitor PP242. Oncotarget. 2017 Jun 13;8(24):39185-39197. doi: 10.18632/oncotarget.16642. PMID: 28402933; PMCID: PMC5503605.

In vivo study

1. Yang C, Huang X, Liu H, Xiao F, Wei J, You L, Qian W. PDK1 inhibitor GSK2334470 exerts antitumor activity in multiple myeloma and forms a novel multitargeted combination with dual mTORC1/C2 inhibitor PP242. Oncotarget. 2017 Jun 13;8(24):39185-39197. doi: 10.18632/oncotarget.16642. PMID: 28402933; PMCID: PMC5503605.
2. Scortegagna M, Ruller C, Feng Y, Lazova R, Kluger H, Li JL, De SK, Rickert R, Pellecchia M, Bosenberg M, Ronai ZA. Genetic inactivation or pharmacological inhibition of Pdk1 delays development and inhibits metastasis of Braf(V600E)::Pten(-/-) melanoma. Oncogene. 2014 Aug 21;33(34):4330-9. doi: 10.1038/onc.2013.383. Epub 2013 Sep 16. PMID: 24037523; PMCID: PMC3955742.

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7. Bioactivity

Biological target:

GSK2334470 is a highly specific and potent inhibitor of PDK1 with an IC_{50} of 10 nM.

In vitro activity

Correctively, this data suggested that GSK-470 (GSK2334470) inhibited proliferation and induced apoptosis of MM (multiple myeloma) cells, and anti-myeloma effect of GSK-470 might correlate with the level of PTEN expression.

Reference: Oncotarget. 2017 Jun 13;8(24):39185-39197. https://pubmed.ncbi.nlm.nih.gov/28402933/

In vivo activity

Administration of the PDK1 inhibitor GSK2334470 (PDKi) effectively delayed melanomagenesis and metastasis in Braf(V600E)::Pten(-/-) mice. Pdk1(-/-) melanomas exhibit a marked decrease in the activity of AKT, P70S6K and PKC. Notably, PDKi was as effective in inhibiting AGC kinases and colony forming efficiency of melanoma with Pten wild-type (WT) genotypes.

Reference: Oncogene. 2014 Aug 21;33(34):4330-9. https://pubmed.ncbi.nlm.nih.gov/24037523/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.