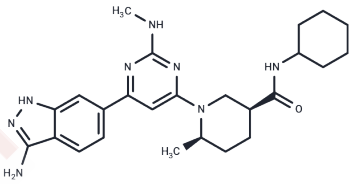
Data Sheet (Cat.No.T2348)

TargetMol

GSK2334470

Chemical Properties



CAS No. : 1227911-45-6

Formula: C25H34N8O

Molecular Weight: 462.59

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GSK2334470 is a novel PDK1 inhibitor (IC50: ~10 nM, in a cell-free assay), with no inhibitory at other close related AGC-kinases.
Targets(IC50)	PDK
In vivo	The efficacy of the PDK1 inhibitor (PDKi) GSK2334470 was assessed in newborn BrafV600E::Pten?/? mice through systemic administration of 4-HT. Administering PDK1 twice weekly significantly inhibited pigmented lesions and concurrent melanomagenesis, alongside a notable reduction (~80%) in lung metastases and lymph node metastases, as quantified by H&E staining and S100 immunostaining, respectively. This outcome mirrors the effects observed with the genetic deletion of Pdk1[4].
Kinase Assay	FGFR1-4 Biochemical Assays: FGFR kinase inhibition assays are performed at KM for ATP. Picomolar to low nanomolar concentrations of FGFR proteins are incubated in 1× Kinase Reaction Buffer (KRB) with 1 μM of CSKtide and 50 to 250 of μM ATP at 25°C for 90 minutes in the presence or absence of a dosed concentration series of inhibitor. All reactions are terminated by the addition of Stop buffer, and plates are read on a Caliper EZReader2. IC50 values are fit with a four-parameter log[Inhibitor] versus response model with floating Hill Slope.
Cell Research	GSK2334470 is dissolved in DMSO and diluted with appropriate medium before use. To study the inhibitory effect of GSK2334470 on mTOR-S6K pathway, non-resistant cells and the resistant sublines are treated with GSK2334470 at 5 μM for 1.5 and 12 h in 10 % FBS medium with/without MK-2206 (5 μM)[2].

Solubility Information

Solubility	Ethanol: 83 mg/mL (179.42 mM),Sonication is recommended. DMSO: 150 mg/mL (324.26 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 10 mg/mL (21.62 mM),Solution. 10% DMSO+90% Saline: < 10 mg/mL (21.62 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may*

In vivo Formulation	*vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1617 mL	10.8087 mL	21.6174 mL
5 mM	0.4323 mL	2.1617 mL	4.3235 mL
10 mM	0.2162 mL	1.0809 mL	2.1617 mL
50 mM	0.0432 mL	0.2162 mL	0.4323 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Najafov A, et al. Biochem J, 2011, 433(2), 357-369.
Artamonov M, et al. PLoS One, 2013, 8(3), e58703.
Raimondi C, et al. J Cell Sci, 2012, 125(Pt 13), 3153-3163.
Scortegagna M, et al. 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.