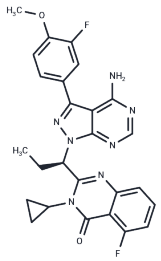
Data Sheet (Cat.No.T35530)

TargetMol

IHMT-PI3Kδ-372

Chemical Properties



CAS No. : 2429889-62-1

Formula: C26H23F2N7O2

Molecular Weight: 503.5

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	IHMT-PI3Kδ-372 is a selective inhibitor of PI3Kδ with an IC50 of 14 nM and can be used in studies about chronic obstructive pulmonary disease.
Targets(IC50)	Cytochromes P450,PI3K
In vitro	In Raji cells, IHMT-PI3Kδ-372 (0.03-3 μM) inhibits PI3Kδ-mediated AKT T308 phosphorylation (EC50 = 67 nM).IHMT-PI3Kδ-372 moderately inhibits CYP2C9 (IC50 = 2.7 μM) and no apparently inhibits CYP1A2, CYP2B6, CYP2C19, and CYP3A4 (IC50s > 10 μM) [1].
In vivo	IHMT-PI3Kδ-372 (1-5 mg/kg; inhalation) improves lung function and reduced the inflammatory patterns characteristic of COPD with better forced expiratory volume in FEV1, FVC, and PEF. IHMT-PI3Kδ-372 dose-dependently decreases the inflammatory cell and reduces the abnormally high level of leukocytes including the alveolar macrophages, neutrophils, and lymphocytes. In rats, IHMT-PI3Kδ-372 (5 mg/kg; inhalation) displays a half-life of 2.3 h, low exposure of 66 ng/mL, and high clearance of 348.5 mL/min/kg in plasma and high exposure of 5599 ng/g (6 h after inhalation) in lung tissue[1].

Solubility Information

Solubility	DMSO: 37.8 mg/mL (75.07 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: 2 mg/mL (3.97 mM),Sonication is recommended. *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 vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9861 mL	9.9305 mL	19.861 mL
5 mM	0.3972 mL	1.9861 mL	3.9722 mL
10 mM	0.1986 mL	0.993 mL	1.9861 mL
50 mM	0.0397 mL	0.1986 mL	0.3972 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

Feng Li, et al. Discovery of (S)-2-(1-(4-Amino-3-(3-fluoro-4-methoxyphenyl)-1 H-pyrazolo[3,4- d]pyrimidin-1-yl) propyl)-3-cyclopropyl-5-fluoroquinazolin-4(3 H)-one (IHMT-PI3Kδ-372) as a Potent and Selective PI3Kδ Inhibitor for the Treatment of Chronic Obstructive Pulmonary Disease. J Med Chem. 2020 Nov 25;63(22):13973-13993.