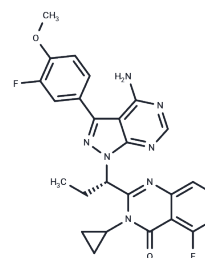


IHMT-PI3K δ -372 S-isomer

Chemical Properties

CAS No. :	2429889-61-0
Formula:	C ₂₆ H ₂₃ F ₂ N ₇ O ₂
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-PI3K δ -372 S-isomer is a potent and selective PI3K δ inhibitor with an IC ₅₀ of 14 nM. IHMT-PI3K δ -372 S-isomer shows high selectivity over other class I PI3Ks (5683 fold) and other protein kinases. IHMT-PI3K δ -372 can be used for chronic obstructive pulmonary disease (COPD) research.
Targets(IC ₅₀)	PI3K
In vitro	IHMT-PI3K δ -372 (S)-18 (0.03-3 μ M; 1 hour; Raji cells) treatment inhibits PI3K δ -mediated AKT T308 phosphorylation in Raji cells with an EC ₅₀ value of 67 nM. IHMT-PI3K δ -372 (S)-18 shows moderate inhibition of CYP2C9 (IC ₅₀ of 2.7 μ M) and no apparent inhibition against CYP1A2, CYP2B6, CYP2C19, and CYP3A4 (IC ₅₀ s > 10 μ M)[1].
In vivo	IHMT-PI3K δ -372 (S)-18; 1-5 mg/kg; inhalation; daily; for 28 days) improves lung function and reduced the inflammatory patterns characteristic of COPD. The lung function parameters such as forced expiratory volume in the first second (FEV ₁), forced vital capacity (FVC), and peak expiratory flow (PEF) are improved dose-dependently. The abnormally high level of leukocytes including the alveolar macrophages, neutrophils, and lymphocytes are also reduced. IHMT-PI3K δ -372 (S)-18 decreases the inflammatory cell infiltration in a dose-dependent manner. In rats, inhalation of 5 mg/kg dose of IHMT-PI3K δ -372 (S)-18 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 but high exposure of 5599 ng/g (6 h after inhalation) in lung tissue. IHMT-PI3K δ -372 (S)-18 is stable in human, rat, and mouse liver microsomes, while it has moderate stability in monkey and dog liver microsomes [1].

Solubility Information

Solubility	DMSO: 50 mg/mL (99.3 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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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

Li F, et al. Discovery of (S)-2-(1-(4-Amino-3-(3-fluoro-4-methoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidin-1-yl)propyl)-3-cyclopropyl-5-fluoroquinazolin-4(3H)-one (IHMT-PI3K δ -372) as a Potent and Selective PI3K δ Inhibitor for the Treatment of Chronic Obstructive Pulmonary Disease. *J Med Chem.* 2020 Nov 25;63(22):13973-13993.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481