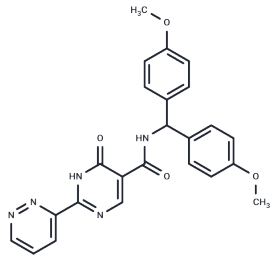
Data Sheet (Cat.No.T4106)

TargetMol

MK-8617

Chemical Properties



CAS No. : 1187990-87-9

Formula: C24H21N5O4

Molecular Weight: 443.45

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	MK-8617 is an orally available HIF PHD1 3 pan-inhibitor, inhibiting PHD1/2/3 (IC50: 1.0 /1.0/14 nM).
Targets(IC50)	HIF/HIF Prolyl-Hydroxylase
In vitro	In vitro, MK-8617 hasn't markedly inhibitory for CYP1A2, 3A4, 2B6, 2C9, 2C19, or 2D6 (IC50>60 μM), and is a moderate reversible inhibitor of CYP2C8 (IC50: 1.6 μM). MK-8617 (10 μM) is inactive against a general panel of 171 radioligand binding and enzymatic assays.
In vivo	In liver microsomes (+NADPH) from rat, dog, and monkey, tritiated MK-8617 exhibits minimal metabolic turnover (<10%), but the significant turnover (34%) in HLMs after 60 min (10 μM compound, 1 mg/mL microsomal protein). MK-8617 has good oral bioavailability across species (36?71%), with low clearance and volume of distribution. Increases in circulating reticulocytes are observed at 5 and 15 mg/kg 3 days after challenge and at the 15 mg/kg 4 days after challenge.

Solubility Information

Solubility	DMSO: 1 mg/mL (2.26 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.255 mL	11.2752 mL	22.5505 mL
5 mM	0.451 mL	2.255 mL	4.5101 mL
10 mM	0.2255 mL	1.1275 mL	2.255 mL
50 mM	0.0451 mL	0.2255 mL	0.451 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

John S. Debenham, et al. J Med Chem. 2016, 59 (24):11039-11049.