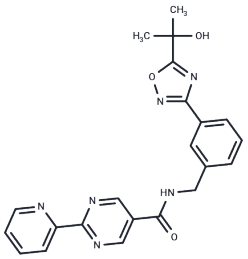
Data Sheet (Cat.No.T2681)

TargetMol

hPGDS-IN-1

Chemical Properties



CAS No. : 1234708-04-3

Formula: C22H20N6O3

Molecular Weight: 416.43

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	hPGDS-IN-1 is a hPGDS inhibitor. This compound specifically blocks the activity of human hematopoietic prostaglandin D synthase (hPGDS), an enzyme that catalyzes the conversion of PGH2 to PGD2. [Purity: 99.55% | Suppliers: MedChemExpress]
Targets(IC50)	PGE Synthase
Kinase Assay	TR-FRET biochemical assay with MET wild type and mutants: Enzyme activity is measured in a time resolved fluorescence resonance energy transfer (TR-FRET) assay, detecting tyrosine phosphorylation with a Eu-labelled anti-phospho-tyrosine antibody (fluorescence donor) and Allophycocyanin conjugated to Streptavidin (fluorescence acceptor) which binds to a biotin on the substrate peptide. For each variant, Km concentrations for ATP are determined in the absence of NVP-BVU972, and the ATP concentration in the kinase reaction is set to Km (4 µM for MET wt, 1 µM for MET Y1230H and MET F1200I). NVP-BVU972 is dissolved and diluted in DMSO and assayed in quadruplicate. Kinase reactions are carried out in 50 mM Tris-HCl pH 7.5, 8 mM MgCl2, 4 mM MnCl2, 0.05 % Tween 20, 0.05% bovine serum albumin, 0.1 mM EDTA, 1 mM DTT, 0.1 mM Na3VO4, in white 1536 well plates at room temperature. NVP-BVU972 and enzyme are incubated in a volume of 2 µL for 20 min, followed by the addition of 1 µL ATP and 1 µL biotinylated peptide substrate (PTK1) to final concentrations of Km and 1 µM, respectively. Enzyme concentrations in the reactions are 5 nM for MET wt, and 4 nM for the F1200I and Y1230H variants. After 90 min, reactions are stopped by addition of 1 µL stop/detection solution to reach final concentrations of 10 mM EDTA, 3.5 nM Eu-labelled antiphospho-tyrosine antibody PY20, and 10 nM Streptavidin Allophycocyanin. Time resolved fluorescence resonance energy transfer is measured in an Envision plate reader (excitation 320 nm, emission 615 nm and 665 nm).

Solubility Information

Solubility	DMSO: 5 mg/mL (12.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.4014 mL	12.0068 mL	24.0136 mL
5 mM	0.4803 mL	2.4014 mL	4.8027 mL
10 mM	0.2401 mL	1.2007 mL	2.4014 mL
50 mM	0.048 mL	0.2401 mL	0.4803 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

Vandeusen, et al. From PCT Int. Appl. (2011), WO 2011044307 A1 20110414.