Data Sheet (Cat.No.T214269)

TargetMol

DC-PGKI

Chemical Properties

CAS No. : 2829198-49-2

Formula: C26H29Cl2N7O3

Molecular Weight: 558.46

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	DC-PGKI is an orally active ATP-competitive inhibitor of PGK1, with an IC50 of 0.16 μM and a Kd of 99.08 nM. It stabilizes PGK1 both in vitro and in vivo, inhibiting glycolytic activity and PGK1's kinase function. This inhibition induces the accumulation of NRF2 (nuclear factor erythroid 2-related factor 2, NFE2L2), which then translocates to the nucleus, binds to the proximal regions of IL-1β and IL-6 genes, and inhibits their LPS-induced expression. DC-PGKI is applicable for research in colitis.
In vitro	DC-PGKI increases the thermal stability of PGK1 in RAW264.7 cells in a concentration-dependent manner at doses of 0.1-30 μM over 3 hours. It inhibits the glycolytic activity of PGK1 in both resting and activated states in RAW264.7 cells with 5-10 μM over 10 hours. At concentrations of 10-20 μM, DC-PGKI significantly reduces the phosphorylation level of Beclin1 at the Ser30 site in RAW264.7 cells, suggesting inhibition of PGK1 kinase activity. Furthermore, DC-PGKI suppresses LPS-induced expression of IL-1β and IL-6 mRNA in RAW264.7 cells in a concentration-dependent manner at 5-20 μM, and significantly inhibits the production of IL-1β and IL-6 precursors induced by Lipopolysaccharides (LPS) in the same cells at concentrations of 0-20 μM. Additionally, DC-PGKI at 5-20 μM over 7 hours decreases KEAP1 protein levels, promotes NRF2 accumulation, and upregulates HMOX1 mRNA and protein levels in LPS-induced RAW264.7 cells. It also upregulates the expression of other NRF2 downstream target genes such as Txnrd1, Prdx1, Gclc, Sod1, Fth1, and Ephx1 in RAW264.7 cells, regardless of LPS treatment.
In vivo	DC-PGKI (5-10 mg/kg, oral administration, once daily for 8 days) significantly alleviates Dextran Sulfate Sodium (DSS)-induced colitis symptoms in mice by inhibiting PGK1 and activating the NRF2 pathway.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7906 mL	8.9532 mL	17.9064 mL
5 mM	0.3581 mL	1.7906 mL	3.5813 mL
10 mM	0.1791 mL	0.8953 mL	1.7906 mL
50 mM	0.0358 mL	0.1791 mL	0.3581 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.