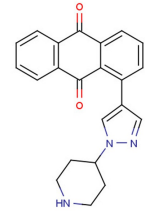


Data Sheet (Cat.No.T12412)

PDK4-IN-1

Chemical Properties

CAS No.:	2310262-10-1
Formula:	C22H19N3O2
Molecular Weight:	357.41
Appearance:	N/A
Storage:	0-4°C for short term (days to weeks), or -20°C for long term (months).



Biological Description

Description	PDK4-IN-1 is an anthraquinone derivative and a potent and orally active inhibitor of pyruvate dehydrogenase kinase 4 (PDK4)(IC50 value of 84 nM).
Targets(IC50)	Pyruvate dehydrogenase kinase 4 (PDK4): 84 nM
In vitro	PDK4-IN-1 treatment significantly impedes the proliferation of human colon cancer cell lines, HCT116 and RKO. The colony formation efficiency in HCT116 and RKO cells is significantly reduced after treatment of PDK4-IN-1 [1]. PDK4-IN-1 (Compound 8c; 10-50 μM; 24 hours; HCT116 and RKO cells) treatment dose-dependently increased apoptosis. PDK4-IN-1 (compound 8c)-induced phosphorylation of p53 on serine 15 is a dose-dependent response in both HCT116 and RKO cells. PDK4-IN-1 decreases the expression of BCL-xL and increases the expression of BAX. Cleavage of PARP1 and caspase 3 are increased by PDK4-IN-1 [1].
In vivo	PDK4-IN-1 treatment significantly improves glucose tolerance. Pre-incubation with PDK4-IN-1 dose-dependently inhibits the release of β-hexosaminidase from IgE/antigen-activated BMMCs, showing that the absorbance values are 0.26, 0.20, and 0.126 in IgE/Ag, 10 μM, and 20 μM PDK4-IN-1-treated BMMCs [1].

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.798 mL	13.99 mL	27.979 mL
5 mM	0.56 mL	2.798 mL	5.596 mL
10 mM	0.28 mL	1.399 mL	2.798 mL
50 mM	0.056 mL	0.28 mL	0.56 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 °C for 6 months; - 20 °C for 1 month. Please use it as soon as possible.

Reference

1. Lee D, et al. Discovery of Novel Pyruvate Dehydrogenase Kinase 4 Inhibitors for Potential Oral Treatment of Metabolic Diseases. J Med Chem. 2019 Jan 24;62(2):575-588.

Inhibitors · Natural Compounds · Compound Libraries

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