

DB1976 dihydrochloride

Chemical Properties

CAS No. : 2369663-93-2

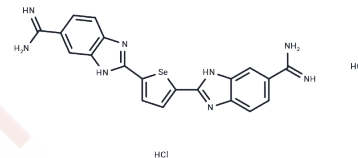
Formula: C₂₀H₁₈Cl₂N₈Se

Molecular Weight: 520.28

Store at low temperature

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	DB1976 dihydrochloride (DB1976 2HCl) , a transcription factor PU.1 inhibitor with potential anti-inflammatory activity, slowed down inflammation and fibrosis and improved glucose homeostasis and dyslipidemia in mice in in vivo experiments.DB1976 dihydrochloride promotes apoptosis and may be used in studies of metabolic dysfunction and non-alcoholic steatohepatitis.
Targets(IC50)	Apoptosis,NF-κB
In vitro	DB1976 dihydrochloride , a classic heterocyclic dication with strong affinity and selectivity for AT-rich sequences commonly found in cognate DNA binding sites for PU.1 [3], inhibits PU.1-dependent transactivation of the reporter in a dose-dependent manner with an IC50 value of 2.4 μM in PU.1-negative HEK293 cells[2]. Treatment with DB1976 dihydrochloride leads to a profound decrease in the growth of PU.1 URE-/- AML cells (IC50 of 105 μM), while exhibiting little effect on normal hematopoietic cells at similar concentrations (IC50 of 334 μM)[2].Furthermore,DB1976 dihydrochloride treatment results in a 1.6-fold increase in apoptotic cells in murine PU.1 URE-/- AML cells, with similar effects observed in human MOLM13 cells[3].In addition, DB1976 dihydrochloride treatment causes a significant decrease in the number of viable cells (primary human AML cells) (mean decrease of 81%) and clonogenic capacity (mean decrease of 36%) compared to vehicle-treated cells. The apoptotic cell fraction increases on average by 1.5-fold with DB1976 dihydrochloride[2].

Solubility Information

Solubility	DMSO: 20 mg/mL (38.44 mM),Sonication is recommended. H ₂ O: 10 mg/mL (19.22 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 2 mg/mL (3.84 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.922 mL	9.6102 mL	19.2204 mL
5 mM	0.3844 mL	1.922 mL	3.8441 mL
10 mM	0.1922 mL	0.961 mL	1.922 mL
50 mM	0.0384 mL	0.1922 mL	0.3844 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

Munde M, et al. Structure-dependent inhibition of the ETS-family transcription factor PU.1 by novel heterocyclic diamidines. *Nucleic Acids Res.* 2014 Jan;42(2):1379-90.

Antony-Debré I, et al. Pharmacological inhibition of the transcription factor PU.1 in leukemia. *J Clin Invest.* 2017 Dec 1;127(12):4297-4313.

Stephens DC, et al. Pharmacologic efficacy of PU.1 inhibition by heterocyclic dications: a mechanistic analysis. *Nucleic Acids Res.* 2016 May 19;44(9):4005-13.

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