

DB1976

Chemical Properties

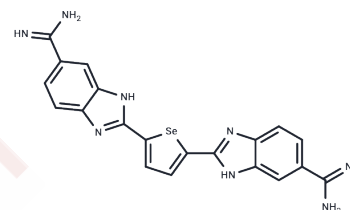
CAS No. : 1557397-51-9

Formula: C₂₀H₁₆N₈Se

Molecular Weight: 447.35

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 is a selenophene analog of DB270 and a highly potent, cell-permeable, fully efficacious transcription factor PU.1 inhibitor. It effectively inhibits PU.1 binding (IC ₅₀ of 10 nM) and strongly inhibits the PU.1/DNA complex (with high DB1976-λB affinity, K _D of 12 nM) in vitro. Additionally, DB1976 has an apoptosis-inducing effect.
Targets(IC ₅₀)	Apoptosis
In vitro	DB1976 is a heterocyclic dication possessing a strong affinity and selectivity for AT-rich sequences in DNA, which are crucial for the binding of transcription factor PU.1. It effectively inhibits the activation mediated by PU.1 in a dose-dependent manner, displaying an IC ₅₀ of 2.4 μM in PU.1-negative HEK293 cells. Importantly, DB1976 significantly reduces the growth of PU.1 URE ^{-/-} AML cells, with an IC ₅₀ of 105 μM, while minimally affecting normal hematopoietic cells (IC ₅₀ of 334 μM). Treatment with DB1976 results in a notable increase in apoptosis, particularly a 1.6-fold rise in murine PU.1 URE ^{-/-} AML cells and similar outcomes in human MOLM13 cells. Furthermore, DB1976 dramatically reduces the viability (by 81%) and clonogenic capacity (by 36%) of primary human AML cells compared to those treated with a vehicle, alongside effecting a 1.5-fold increase in the apoptotic cell fraction.

Solubility Information

Solubility	DMSO: 50 mg/mL (111.77 mM),Sonication is recommended. Ethanol: < 1 mg/mL (insoluble or slightly soluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2354 mL	11.1769 mL	22.3539 mL
5 mM	0.4471 mL	2.2354 mL	4.4708 mL
10 mM	0.2235 mL	1.1177 mL	2.2354 mL
50 mM	0.0447 mL	0.2235 mL	0.4471 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.

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.

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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