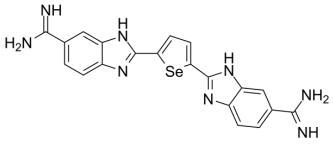
DB1976

Cat. No.:	HY-135797
CAS No.:	1557397-51-9
Molecular Formula:	$C_{20}H_{16}N_8Se$
Molecular Weight:	447.35
Target:	Apoptosis
Pathway:	Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	DB1976 is a selenophene analog of DB270 and a potent and cell-permeable fully efficacious transcription factor PU.1 inhibitor. DB1976 potently 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. DB1976 has apoptosis-inducing effect[1][2][3].
IC_{50} & Target	IC50: 10 nM (Transcription factor PU.1)[1]
In Vitro	DB1976 is a classic heterocyclic dication (a single heteroatom) with strong affinity and selectivity for AT-rich sequences commonly found in cognate DNA binding sites for PU.1[2]. DB1976 inhibits PU.1-dependent transactivation of the reporter in a dose-dependent manner with an IC_{50} value of 2.4 μM in PU.1-negative HEK293 cells[3]. DB1976 treatment leads to a profound decrease in the growth of PU.1 $URE^{-/-}$ AML cells (IC_{50} of 105 μM), while showing little effect on normal hematopoietic cells at similar concentrations (IC_{50} of 334 μM)[3]. DB1976 treatment leads to a 1.6-fold increase in apoptotic cells in murine PU.1 $URE^{-/-}$ AML cells, and observed similar effects in human MOLM13 cells[3]. DB1976 treatment leads to 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 with vehicle-treated cells. The apoptotic cell fraction increased on average by 1.5-fold with DB1976[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Immunol. 2023 Nov;24(11):1839-1853.
- Respir Res. 2023 Jan 25;24(1):32.

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REFERENCES

[1]. 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.

[2]. 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.

[3]. 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.

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