

SR-0813

Chemical Properties

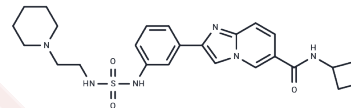
CAS No. : 2597186-19-9

Formula: C₂₅H₃₂N₆O₃S

Molecular Weight: 496.62

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	SR-0813 is a potent and selective inhibitor of the YEATS domain in ENL/AF9, with an IC ₅₀ of 25 nM and EC ₅₀ of 205 nM for the ENL YEATS domain, and an IC ₅₀ of 311 nM and EC ₅₀ of 76 nM (CETSA) for the AF9 YEATS domain. It shows over 100-fold lower affinity for MAP3K19 (K _d = 3.5 μM) compared to ENL YEATS (K _d = 30 nM). SR-0813 can be utilized in acute leukemia research.
Targets(IC ₅₀)	Epigenetic Reader Domain
In vitro	SR-0813, also identified as compound 10, demonstrates a dose-dependent activity in expelling ENL from its known binding sites, including the HOXA10 gene body and MYB promoter within MV4;11 cells at concentrations of 0, 1, and 10 μM over 4 hours. Furthermore, it modulates gene expression in these cells by decreasing the levels of HOXA9, MEIS1, and MYC, while augmenting ITGAM transcript abundance across various time points of 0, 24, 48, and 72 hours at the same concentrations. Notably, at 1 μM for 4 hours, SR-0813 specifically suppresses ENL target genes without broadly altering global gene expression in MV4;11 cells. Over approximately two weeks, SR-0813 shows a marked ability to hinder the proliferation of multiple lineage leukemia (MLL)-fusion leukemia cell lines, including MV4;11, MOLM-13, and OCI/AML-2, in addition to HB11;19 cells, all of which exhibit sensitivity to ENL genetic loss, at concentrations of 0, 1, and 10 μM. This is evidenced in the Cell Proliferation Assay, highlighting SR-0813's potential in targeting MLL-fusion leukemias that harbor ENL dependencies.

Solubility Information

Solubility	DMSO: 50 mg/mL (100.68 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 (4.03 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	2.0136 mL	10.0681 mL	20.1361 mL
5 mM	0.4027 mL	2.0136 mL	4.0272 mL
10 mM	0.2014 mL	1.0068 mL	2.0136 mL
50 mM	0.0403 mL	0.2014 mL	0.4027 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

Garnar-Wortzel L, et al. Chemical Inhibition of ENL/AF9 YEATS Domains in Acute Leukemia. ACS Cent Sci. 2021 May 26;7(5):815-830.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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