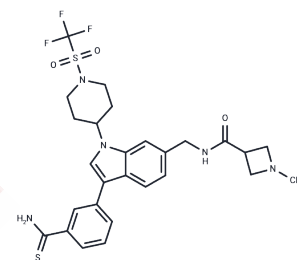


AS-99 free base

Chemical Properties

CAS No. :	2323623-93-2
Formula:	C ₂₇ H ₃₀ F ₃ N ₅ O ₃ S ₂
Molecular Weight:	593.68
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	AS-99 is a first-in-class, potent, and selective ASH1L histone methyltransferase inhibitor (IC ₅₀ = 0.79 μM, K _d = 0.89 μM) with anti-leukemic activity, blocking cell proliferation, inducing apoptosis and differentiation, downregulating MLL fusion target genes, and reducing the leukemia burden in vivo[1].
Targets(IC ₅₀)	Apoptosis,Others,Histone Methyltransferase
In vitro	AS-99 is tested against 20 histone methyltransferases, including NSD1, NSD2, NSD3, and SETD2. No significant inhibition is observed at 50 μM of AS-99 on any of the tested histone methyltransferases, indicating over 100-fold selectivity toward ASH1L[1]. AS-99 affects the growth of MLL leukemia cells (MV4;11, MOLM13, KOPN8, RS4;11) with GI ₅₀ values ranging from 1.8 μM to 3.6 μM[1]. AS-99 (1-8 μM; 7 days) also induces apoptosis in MLL leukemia cells, but not in K562 cells, as assessed by Annexin V positive cell quantification[1]. AS-99 suppresses MLL fusion-driven transcriptional programs[1].
In vivo	AS-99 (30 mg/kg; i.p.; q.d., treated for 14 consecutive days) reduces leukemia burden in mice[1].AS-99 is used for in vivo studies in mice, which reveals favorable exposure in plasma upon i.v. and i.p. administration (AUC = 9701 hr* ng/mL and 10,699 hr* ng/mL, respectively), suitable half-life (~5-6 h) and C _{max} >10 μM[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6844 mL	8.422 mL	16.8441 mL
5 mM	0.3369 mL	1.6844 mL	3.3688 mL
10 mM	0.1684 mL	0.8422 mL	1.6844 mL
50 mM	0.0337 mL	0.1684 mL	0.3369 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

David S. Rogawski, Jing Deng, Hao Li, Tomasz Cierpicki, Jolanta Grembecka, et al. Discovery of first-in-class inhibitors of ASH1L histone methyltransferase with anti-leukemic activity. Nat Commun. 2021 May 14;12(1):2792.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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