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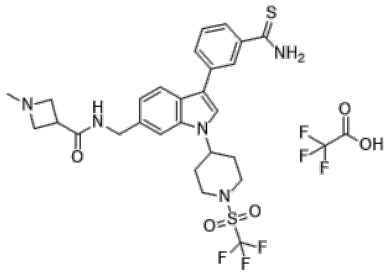
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	ASH1L inhibitor AS-99 TFA
Cat. No.	:	PC-23492
CAS No.	:	
Molecular Formula	:	$C_{29}H_{31}F_6N_5O_5S_2$
Molecular Weight	:	707.71
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

ASH1L inhibitor AS-99 TFA (AS99) is a first-in-class, potent, selective inhibitor of ASH1L histone methyltransferase with IC50 of 0.79 uM.
AS-99 strongly bind to the ASH1L SET domain with Kd value of 0.89 uM.
AS-99 displayed no significant inhibition (>100-fold selectivity) at 50 uM against a panel of 20 histone methyltransferases, including NSD1, NSD2, NSD3, and SETD2.
AS-99 inhibits the growth of leukemia cells (MV4;11, MOLM13, and KOPN8) harboring different MLL1 translocations with the GI50 values of 1.8-3.6 uM, showed a several fold weaker effect on the proliferation of leukemia cells without MLL1 translocations, such as SET2 and K562, without toxicity in normal cells.
AS-99 impairs transcriptional program of MLL fusion proteins and reduces leukemia burden.
AS-99 reduced the leukemia burden in the xenotransplantation mouse model of MLL leukemia without affecting blood counts in normal mice.

References

David S Rogawski, et al. Nat Commun. 2021 May 14;12(1):2792.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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