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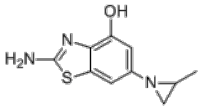
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	NSD1 inhibitor BT5
Cat. No.	:	PC-72299
CAS No.	:	2351225-46-0
Molecular Formula	:	$C_{10}H_{11}N_3OS$
Molecular Weight	:	221.278
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

NSD1 inhibitor BT5 is a covalent, small molecule inhibitor of **NSD1 histone methyltransferase** with IC50 of 1.4 uM, shows no covalent binding to NSD2.
BT5 displays liitle to no affinity against selected epigenetic enzymes, including 10 HDACs, 4 sirtuins and 6 HATs revealed no significant activity of BT5 at 50 uM.
BT5 demonstrates on-target activity in cells and blocks proliferation of NUP98-NSD1 cells with GI50 of 0.8-1.3 uM, human leukemia cell lines (K562, MOLM13 and SET2) with GI 50 of 6 uM.
BT5 inhibits NSD1 SET domain and impairs the activity of NUP98-NSD1 in leukemia cells, reduces the H3K36me2 level but not H3K36me3.
BT5 impairs colony formation in NUP98-NSD1 patient sample MLL-ENL translocation, reduces HOXA9 and MEIS1 expression, without cytotoxicity on normal CD34+ bone marrow progenitor cells.

References

Huang H, et al. ***Nat Chem Biol.*** 2020 Dec;16(12):1403-1410.

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

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