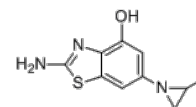


Product Name : NSD1 inhibitor BT5
Cat. No. : PC-72299
CAS No. : 2351225-46-0
Molecular Formula : C₁₀H₁₁N₃OS
Molecular Weight : 221.278
Target : Histone Methyltransferase (HMTase)
Solubility : 10 mM in DMSO



Biological Activity

NSD1 inhibitor BT5 is a covalent, small molecule inhibitor of **NSD1 histone methyltransferase** with IC₅₀ of 1.4 μM, shows no covalent binding to NSD2.

BT5 displays little to no affinity against selected epigenetic enzymes, including 10 HDACs, 4 sirtuins and 6 HATs revealed no significant activity of BT5 at 50 μM.

BT5 demonstrates on-target activity in cells and blocks proliferation of NUP98-NSD1 cells with GI₅₀ of 0.8-1.3 μM, human leukemia cell lines (K562, MOLM13 and SET2) with GI₅₀ of 6 μM.

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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