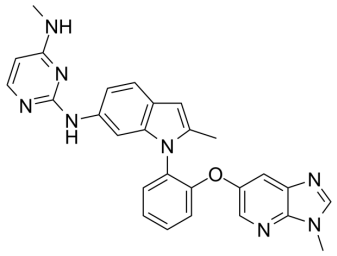
Dot1L-IN-2

Cat. No.:	HY-111390
CAS No.:	1940206-71-2
Molecular Formula:	$C_{27}H_{24}N_8O$
Molecular Weight:	476.53
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Dot1L-IN-2 is a potent, selective and orally bioavailable inhibitor of Dot1L (a histone methyltransferase), with an IC_{50} and K_i of 0.4 nM and 0.08 nM, respectively.
IC_{50} & Target	IC50: 0.4 nM (Dot1L)[1] Ki: 0.08 nM (Dot1L)[1]
In Vitro	Dot1L-IN-2 is a potent, selective Dot1L inhibitor, with an IC_{50} and K_i of 0.4 nM and 0.08 nM, respectively. Dot1L-IN-2 potently inhibits H3K79 dimethylation (IC_{50}, 16 nM), and blocks the activity of the HoxA9 promoter (IC_{50}, 340 nM) in cellular systems. Dot1L-IN-2 also dramatically suppresses proliferation of the human MLL-rearranged leukemia cell line MV4-11 carrying the oncogenic MLL-AF4 fusion (IC_{50}, 128 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Chen C, et al. Discovery of Novel Dot1L Inhibitors through a Structure-Based Fragmentation Approach. ACS Med Chem Lett. 2016 Jun 1;7(8):735-40.

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