Product Data Sheet

Product Name: Dot1L-IN-2
Cat. No.: GC30530

Chemical Properties

Cas. No.	1940206-71-2		
SMILES	CN1C=NC2=CC(OC3=CC=CC=C3N4C(C)=CC5=C4C=C(NC6=NC=CC(NC)=N6)C=C5)=CN=C21		
Formula	$C_{27}H_{24}N_8O$	M.Wt	476.53
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Dot1L-IN-2		

Background

Dot1L-IN-2 is a potent, selective and orally bioavailable inhibitor of Dot1L (a histone methyltransferase), with an IC50 and Ki of 0.4 nM and 0.08 nM, respectively.

Dot1L-IN-2 is a potent, selective Dot1L inhibitor, with an IC50 and Ki of 0.4 nM and 0.08 nM, respectively. Dot1L-IN-2 potently inhibits H3K79 dimethylation (IC50, 16 nM), and blocks the activity of the HoxA9 promoter (IC50, 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 (IC50, 128 nM) [1].

[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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