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Data Sheet

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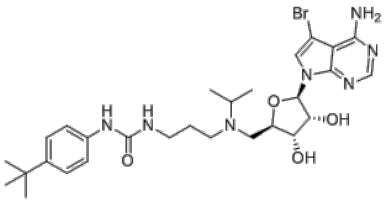
Product Name	:	SGC0946
Cat. No.	:	PC-49325
CAS No.	:	1561178-17-3
Molecular Formula	:	$C_{28}H_{40}BrN_7O_4$
Molecular Weight	:	618.577
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

SGC0946 (SGC-0946) is a potent, selective inhibitor of protein methyltransferase DOT1L with KD value of 0.06 nM and IC50 of 0.3 nM, reduces the level of methylation of H3K79 in MCF10A cells with IC50 of 8.8 nM.
SGC0946 is inactive against a panel of 12 protein methyltransferases (PMTs), DNMT1 and PRMT5. SGC0946 is more potent than EPZ004777.
SGC0946 reduced H3K79 methylation and proliferation of MYCN gene-amplified neuroblastoma cells.
SGC0946 displayed selective reduction of cell viability in an experimental leukaemia model derived from human cord blood cells transformed with the MLL-AF9 fusion oncogene, without apparent effect on the viability of cells transformed with an MLL-unrelated translocation (TLS-ERG).
SGC0946 (1 μM) effectively lowered the levels of MLL target genes, HOXA9 and Meis1.

References

Yu W, et al. Nat Commun. 2012;3:1288.

Wong M, et al. Cancer Res. 2017 May 1;77(9):2522-2533.

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