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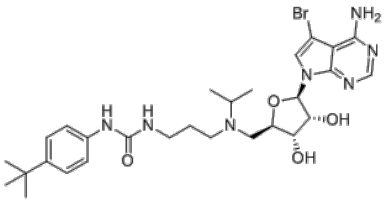
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

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.

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

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