

PRODUCT INFORMATION

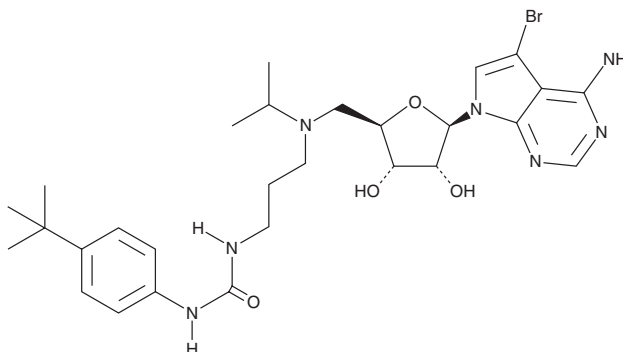


SGC0946

Item No. 13967

CAS Registry No.: 1561178-17-3
Formal Name: 5-bromo-7-[5-deoxy-5-[[[3-[[[4-(1,1-dimethylethyl)phenyl]amino]carbonyl]amino]propyl](1-methylethyl)amino]-β-D-ribofuranosyl]-7H-pyrrolo[2,3-d]pyrimidin-4-amine

MF: C₂₈H₄₀BrN₇O₄
FW: 618.6
Purity: ≥98%
UV/Vis.: λ_{max}: 242, 280 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

SGC0946 is supplied as a crystalline solid. A stock solution may be made by dissolving the SGC0946 in the solvent of choice, which should be purged with an inert gas. SGC0946 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of SGC0946 in these solvents is approximately 10 mg/ml.

SGC0946 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SGC0946 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. SGC0946 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

DOT1L is a protein methyltransferase (PMT). It is the only enzyme known to methylate histone 3 at lysine 79 (H3K79), where it catalyzes mono-, di-, and trimethylation.¹ Proper DOT1L function is necessary for transcriptional activation of many genes, DNA damage repair, and cell cycle regulation.² SGC0946 is a potent inhibitor of DOT1L (IC₅₀ = 0.3 nM) developed by the Structural Genomics Consortium (SGC). It is over 100-fold selective over other PMTs. It is active in cells, reducing H3K79 dimethylation in A431 and MCF10A cells (IC₅₀s = 2.6 and 8.8 nM, respectively). SGC0946 is a brominated analog of EPZ004777, an S-adenosylmethionine-competitive inhibitor of DOT1L.³ Both SGC0946 and EPZ004777 selectively kill mixed lineage leukemia (MLL) cells, in which DOT1L is aberrantly localized *via* interaction with an oncogenic MLL fusion protein.³ The negative control for SGC0956 is available exclusively through the SGC.

References

- Bernt, K.M. and Armstrong, S.A. A role for DOT1L in MLL-rearranged leukemias. *Epigenomics* **3**(6), 667-670 (2011).
- Nguyen, A.T., He, J., Taranova, O., *et al.* Essential role of DOT1L in maintaining normal adult hematopoiesis. *Cell Res.* **21**(9), 1370-1373 (2011).
- Yu, W., Chory, E.J., Wernimont, A.K., *et al.* Catalytic site remodelling of the DOT1L methyltransferase by selective inhibitors. *Nat. Commun.* **3**, (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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