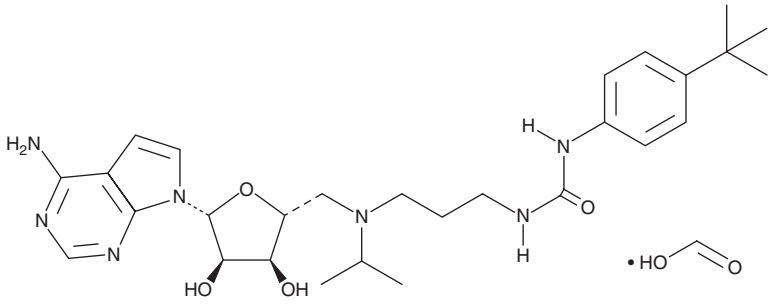
PRODUCT INFORMATION

EPZ004777 (formate)

Item No. 16173

Formal Name:	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, monoformic acid
MF:	$C_{28}H_{41}N_7O_4 \bullet CH_2O_2$
FW:	585.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 242, 271 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥2 years



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

Laboratory Procedures

EPZ004777 (formate) is supplied as a crystalline solid. A stock solution may be made by dissolving the EPZ004777 (formate) in the solvent of choice, which should be purged with an inert gas. EPZ004777 (formate) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of EPZ004777 (formate) in these solvents is approximately 10 mg/ml.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of EPZ004777 (formate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of EPZ004777 (formate) in PBS (pH 7.2) is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

EPZ004777 is a potent inhibitor of DOT1L (IC_{50} = 400 pM) that selectively kills mixed lineage leukemia (MLL) cells *in vitro* and prolongs survival in an MLL xenograft mouse model.[1-4] It displays >1,000-fold selectivity for DOT1L relative to a panel of histone methyltransferases.[1] DOT1L inhibition by EPZ004777 has also been shown to accelerate the reprogramming of somatic cells into induced pluripotent stem cells.[5]

References

1. Daigle, S.R., Olhava, E.J., Therkelsen, C.A., *et al.* Selective killing of mixed lineage leukemia cells by a potent small-molecule DOT1L inhibitor. *Cancer Cell.* **20(1)**, 53-65 (2011).
2. Chen, L., Deshpande, A.J., Banka, D., *et al.* Abrogation of MLL-AF10 and CALM-AF10-mediated transformation through genetic inactivation or pharmacological inhibition of the H3K79 methyltransferase Dot1l. *Leukemia* **27(4)**, 813-822 (2013).
3. Deshpande, A.J., Chen, L., Fazio, M., *et al.* Leukemic transformation by the MLL-AF6 fusion oncogene requires the H3K79 methyltransferase Dot1l. *Blood* **121(13)**, 2533-2541 (2013).
4. Yu, W., Chory, E.J., Wernimont, A.K., *et al.* Catalytic site remodelling of the DOT1L methyltransferase by selective inhibitors. *Nat. Commun.* **3**, 1288 (2012).
5. Ye, J., Ge, J., Zhang, X., *et al.* Pluripotent stem cells induced from mouse neural stem cells and small intestinal epithelial cells by small molecule compounds. *Cell Res.* **26(1)**, 34-45 (2016).

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