

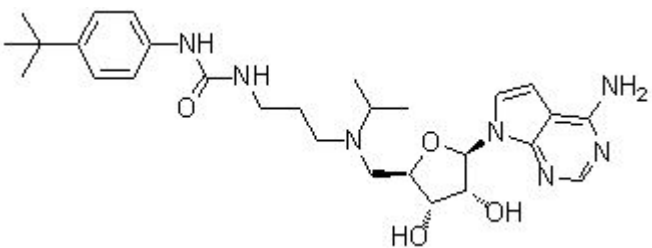


Product Introduction

EPZ004777

EPZ004777 is a potent, selective DOT1L inhibitor with IC₅₀ of 0.4 nM.

Technical Data:

Molecular Weight (MW):	539.67	
Formula:	C ₂₈ H ₄₁ N ₇ O ₄	
Solubility (25°C)	DMSO 100 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol 100 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	1338466-77-5	

Biological Activity

EPZ004777 selectively inhibits cellular H3K79 methylation and inhibits expression of key MLL fusion target genes. Following DOT1L inhibition, EPZ004777 selectively inhibits proliferation of MLL-Rearranged cell lines and MLL-AF9-transformed murine hematopoietic cells. In addition, EPZ004777 also induces differentiation and apoptosis in MLL-rearranged cells. [1] EPZ004777 selectively inhibits proliferation of MLL-AF10 and CALM-AF10-transformed murine bone marrow cells. [2] DOT1L inhibition by EPZ004777 results in significantly decreased proliferation, decreased expression of MLL-AF6 target genes, and cell cycle arrest of MLL-AF6-transformed cells. [3]

Note: Products protected by valid patents are not offered for sale in countries where the sale of such products constitutes a patent infringement and its liability is at buyer's risk. This item is only for R&D purpose not for commercial business in kilos. Buyers should overview the patent issue in their countries.

EPZ004777 produces potent antitumor efficacy, and significantly increases median survival in a mouse xenograft model of MLL leukemia. [1]

References

- [1] Daigle SR, et al. *Cancer Cell*. 2011, 20(1), 53-65.
- [2] Chen L, et al. *Leukemia*. 2013, 27(4), 813-822.
- [3] Deshpande AJ, et al. *Blood*. 2013, 121(13), 2533-2541.



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