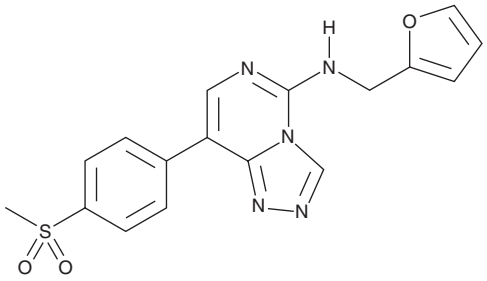
PRODUCT INFORMATION

EED226

Item No. 22031

CAS Registry No.:	2083627-02-3
Formal Name:	N-(2-furanylmethyl)-8-[4-(methylsulfonyl)phenyl]-1,2,4-triazolo[4,3-c]pyrimidin-5-amine
MF:	$C_{17}H_{15}N_5O_3S$
FW:	369.4
Purity:	≥99%
UV/Vis.:	λ_{max}: 228, 331 nm
Supplied as:	A 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

EED226 is supplied as a solid. A stock solution may be made by dissolving the EED226 in the solvent of choice, which should be purged with an inert gas. EED226 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of EED226 in these solvents is approximately 33 mg/ml.

EED226 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EED226 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. EED226 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

EED226 is a potent and allosteric inhibitor of the histone H3K27me3 methyltransferase activity of polycomb repressive complex 2 (PRC2; IC_{50} = 23.4 nM in an enzyme assay with H3K27me0 peptide as the substrate).[1] It exhibits dose-dependent displacement of H3K27me3 binding to the EED subunit of PRC2 but has no effect on the binding of S-adenosylmethionine-cofactor-competitive inhibitor EI1 (Item No. 19146) to the EZH2 catalytic subunit, indicating EED226 is an allosteric modulator of PRC2 function. EED226 decreases global H3K27me3 and H3K27me2 in G401 cells and H3K27me3 in Karpas422 lymphoma cells in a dose-dependent manner. It also reduces proliferation of Karpas422 lymphoma cells *in vitro* and slows growth of Karpass422 xenografts *in vivo*.

Reference

1. Qui, W., Zhao, K., Gu, J., *et al.* An allosteric PRC2 inhibitor targeting the H3K27me3 binding pocket of EED. *Nat. Chem. Biol.* **13(4)**, 381-388 (2017).

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