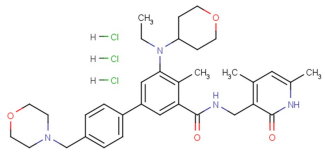
Data Sheet (Cat.No.T15240)

TargetMol
A DRUG SCREENING EXPERT

Tazemetostat trihydrochloride

Chemical Properties



CAS No.:	1403255-00-4
Formula:	C34H47Cl3N4O4
Molecular Weight:	682.12
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Tazemetostat trihydrochloride is a selective and orally available inhibitor of EZH2 (IC50: 4 nM for rat EZH2). It inhibits the activity of human PRC2-containing wild-type EZH2 (Ki: 2.5 nM). It inhibits EZH2 (IC50s: 11 and 16 nM in peptide assay and nucleosome assay).
Targets(IC_{50})	EZH2 WT: 2.5 nM (ki) EZH2: 16 nM (in nucleosome assay) Rat EZH2: 4 nM EZH1: 392 nM
In vitro	Tazemetostat inhibits multi wild-type and mutant lymphoma cell lines proliferation (IC50s: 0.49 nM-7.6 μM).
In vivo	Tazemetostat (250 or 500 mg/kg twice daily for 21-28 days) almost removes the fast-growing G401 tumors.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.466 mL	7.33 mL	14.66 mL
5 mM	0.293 mL	1.466 mL	2.932 mL
10 mM	0.147 mL	0.733 mL	1.466 mL
50 mM	0.029 mL	0.147 mL	0.293 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Knutson SK, et al. Durable tumor regression in genetically altered malignant rhabdoid tumors by inhibition of methyltransferaseEZH2. Proc Natl Acad Sci U S A. 2013 May 7;110(19):7922-7.

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