bio-techne
TOCRIS

Print Date: Sep 23rd 2024

Certificate of Analysis

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Product Name: **Tazemetostat** **Catalog No.: 8093** **Batch No.: 1**

CAS Number: 1403254-99-8

IUPAC Name: *N*-[(1,2-Dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-5-[ethyl(tetrahydro-2*H*-pyran-4-yl)amino]-4-methyl-4'-(4-morpholinylmethyl)[1,1'-biphenyl]-3-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{34}H_{44}N_4O_4.1¾H_2O$

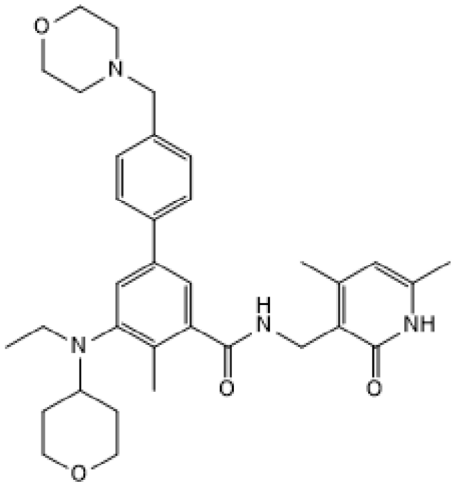
Batch Molecular Weight: 604.26

Physical Appearance: Off-white solid

Solubility: DMSO to 50 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 97.6% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	67.58	7.92	9.27
Found	66.8	7.51	9.11

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Description:

Tazemetostat is a potent and selective EZH2 inhibitor (K_i = 2.5 nM; IC_{50} = 11 nM). Reduces H3K27me3 levels in PDX derived cells and inhibits cellular H3K27 methylation. Tazemetostat suppresses EZH2 and MYC expression and induces neuronal differentiation genes; also increases neurite extension in MB-39-nu cells. Antiproliferative in SMARCAB1-deleted MRT cell lines (IC_{50} = 32 - 1000 nM); inhibits methylation in both mutant and wild type cells (IC_{50} = 1.4-4.9 nM). Dose-dependently suppresses growth of both MC38 cells and tumors in vivo.

Physical and Chemical Properties:

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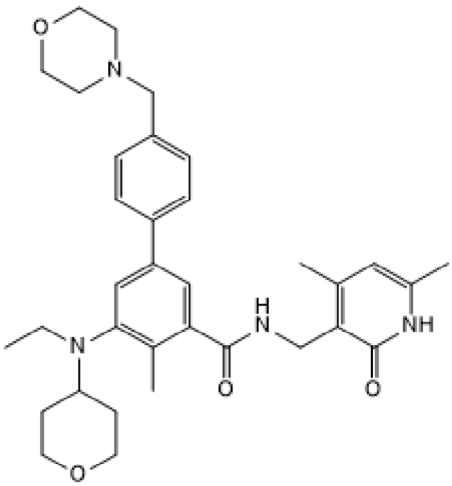
Minimum Purity: ≥97%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 50 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Li *et al* (2022) EZH2 inhibitors suppress colorectal cancer by regulating macrophage polarization in the tumor microenvironment. Front.Immunol. ***13*** 857808. PMID: 35432300.

Li *et al* (2018) EZH2 regulates neuroblastoma cell differentiation via NTRK1 promoter epigenetic modifications. Oncogene ***37*** 2714. PMID: 29507419.

Knutson *et al* (2013) Durable tumor regression in genetically altered malignant rhabdoid tumors by inhibition of methyltransferase EZH2. Prc.Natl.Acad.Sci.U.S.A. ***110*** 7922. PMID: 23620515.