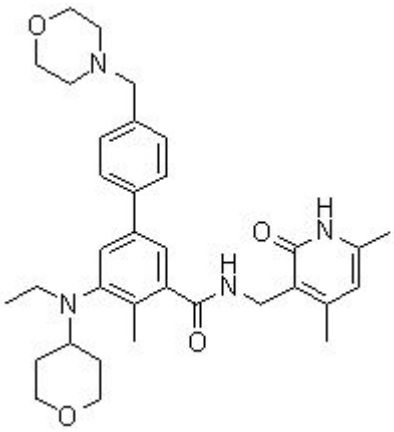
Product Introduction

EPZ-6438

EPZ-6438 is a potent, and selective **EZH2** inhibitor with K_I and **IC50** of 2.5 nM and 11 nM, exhibiting a 35-fold selectivity versus EZH1 and >4,500-fold selectivity relative to 14 other HMTs.

Technical Data:

Molecular Weight (MW):	572.74	
Formula:	$C_{34}H_{44}N_4O_4$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 29 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1403254-99-8	

Biological Activity

EPZ-6438 concentration-dependently reduces global H3K27Me3 levels in wild-type or SMARCB1 mutant cells, and induces strong antiproliferative effects with IC50 ranging from 32 nM to 1000 nM in SMARCB1-deleted MRT cell lines. EPZ-6438 induces gene expression of neuronal differentiation and cell cycle inhibition, while inhibtis expression of Hedgehog pathway genes, MYC and EZH2. [1] The antiproliferative effect of EPZ-6438 is enhanced by either prednisolone or dexamethasone in several EZH2 mutant lymphoma cell lines. [2]

In SCID mice bearing s.c. G401 xenografts, EPZ-6438 induces tumor stasis during the administration period and produces a significant tumor growth delay with minimal effect on body weight. [1]

Orally bioavailable EZH2-selective inhibitor for both wild-type and mutant. Currently being tested in Phase II clinical trials for treatment of Diffuse Large B Cell Lymphoma.

References

[1] Knutson SK, et al. Proc Natl Acad Sci U S A. 2013, 110(19), 7922-7927.
[2] Johnston LD, et al. ASH Annual Meeting Abstracts. 2013.

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.