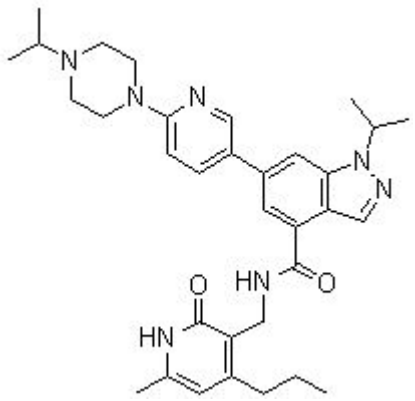
Product Introduction

UNC1999

UNC1999 is a potent, orally bioavailable and selective inhibitor of **EZH2** and **EZH1** with **IC50** of 2 nM and 45 nM, respectively, showing >1000-fold selectivity over a broad range of epigenetic and non-epigenetic targets.

Technical Data:

Molecular Weight (MW):	569.74	
Formula:	$C_{33}H_{43}N_7O_2$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water <1 mg/mL	
	Ethanol 100 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	1431612-23-5	

Biological Activity

UNC1999 is highly potent for both EZH2 Y641N and EZH2 Y641F mutants in vitro. UNC1999 causes concentration-dependent reductions of H3K27me3 in MCF10A cells with IC50 of 124 nM , while shows low cellular toxicity. UNC1999 displays potent, concentration-dependent inhibition of cell proliferation with EC50 of 633 nM in a DLBCL cell line harboring the EZH2Y641N mutant. In addition, biotinylated UNC1999 enriches EZH2 from HEK293T cell lysates, and thus may be used in chemoproteomics studies. [1]

Treatment of UNC1999 (150 and 50 mg/kg, i.p.) results in the plasma concentrations of UNC1999 above its cellular IC50 over 24 hours in vivo. In addition, UNC1999 is also orally bioavailable in mice, which makes chronic animal studies more practical and convenient. [1]

The first orally bioavailable inhibitor against wild-type and mutant EZH2 as well as EZH1.

References

[1] Konze KD, et al. ACS Chem. Biol. 2013, 8 (6), 1324–1334.

[2] Structural Genomics Consortium.

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