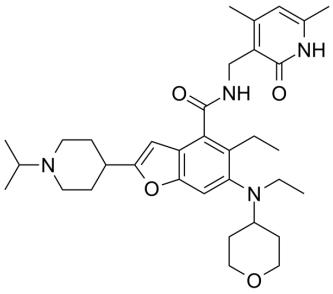
EBI-2511

Cat. No.:	HY-111418
CAS No.:	2098546-05-3
Molecular Formula:	$C_{34}H_{48}N_4O_4$
Molecular Weight:	576.77
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5 mg/mL (8.67 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7338 mL	8.6690 mL	17.3379 mL
	5 mM	0.3468 mL	1.7338 mL	3.4676 mL
	10 mM	---	---	---

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.5 mg/mL (0.87 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.5 mg/mL (0.87 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.5 mg/mL (0.87 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EBI-2511 is a highly potent and orally active EZH2 inhibitor, with an IC_{50} of 6 nM in Pfeffiera cell lines, respectively.

IC_{50} & Target

IC50: 6 nM (EZH2)[1].

In Vitro

EBI-2511 (Compound 34) significantly reduces cellular H3K27me3 levels in a dose-dependent manner with an approximate IC_{50} of 8 nM, which is 3-fold more potent than EPZ-6438. In addition to Pfeffier cell line, EBI-2511 was shown active with IC_{50} value of 55 nM against WSU-DLCL2[1].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	EBI-2511 displays a dose-dependent inhibition on the tumor growth, resulting in 28% (10mg/kg), 83% (30mg/kg), and 97% (100mg/kg) reduction in tumor size. At the same dosage level, EBI-2511 shows a superior anti-tumor efficacy to EPZ-6438 ($P<0.01$). It is noteworthy that no significant changes in body weights of all treatment groups are observed[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lu B, et al. Discovery of EBI-2511: A Highly Potent and Orally Active EZH2 Inhibitor for the Treatment of Non-Hodgkin's Lymphoma. ACS Med Chem Lett. 2018 Jan 29;9(2):98-102.

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