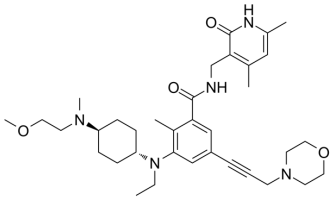
# EPZ011989

| | |
|---|---|
| **Cat. No.:** | HY-16986 |
| **CAS No.:** | 1598383-40-4 |
| **Molecular Formula:** | $C_{35}H_{51}N_5O_4$ |
| **Molecular Weight:** | 605.81 |
| **Target:** | Histone Methyltransferase |
| **Pathway:** | Epigenetics |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 6 months<br>-20°C 1 month |



## SOLVENT & SOLUBILITY

**In Vitro**

DMSO : 100 mg/mL (165.07 mM; Need ultrasonic)

| Preparing Stock Solutions | Mass / Solvent / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 1 mM | 1.6507 mL | 8.2534 mL | 16.5068 mL |
| | 5 mM | 0.3301 mL | 1.6507 mL | 3.3014 mL |
| | 10 mM | 0.1651 mL | 0.8253 mL | 1.6507 mL |

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: ≥ 2.5 mg/mL (4.13 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.13 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.13 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

EPZ011989 is a potent and orally active Zeste Homolog 2 (EZH2) inhibitor with metabolic stability. EPZ011989 has inhibitory inhibition for EZH2 with a $K_i$ value of <3 nM. EPZ011989 shows robust methyl mark inhibition and anti-tumor activity. EPZ011989 can be used for the research of various cancers[1].

**$IC_{50}$ & Target**

Ki: <3 nM (EZH2); IC50: 94 nM (H3K27 methylation inhibition)[1].

**In Vitro**

EPZ011989 inhibits mutant and wild-type EZH2 with an $K_i$ value of <3 nM[1].

EPZ011989 reduces cellular H3K27 methylation with an $IC_{50}$ value of 94 nM[1].
EPZ011989 (0-10 μM; 11 days) has anti-proliferation effect in WSU-DLCL2 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

| | |
|---|---|
| Cell Line: | WSU-DLCL2 cells |
| Concentration: | 0-10 μM |
| Incubation Time: | 11 days |
| Result: | Demonstrated an average lowest cytotoxic concentration (LCC) in WSU-DLCL2 cells of 208 nM. |

**In Vivo**

EPZ011989 (oral; 30-1000 mg/kg; single or bid; for 7 days or 21 days) can elicit robust methyl mark inhibition and antitumor activity[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

| | |
|---|---|
| Animal Model: | SCID mice[1] |
| Dosage: | 125, 250, 500, and 1000 mg/kg |
| Administration: | Oral; single, twice-daily (BID)for 7 days or twice-daily (BID)for 21 days |
| Result: | Provided coverage over the LCC for 24 h (1000 mg/kg ), while the 250 and 500 mg/kg doses provided coverage over this value for approximately 8 h.<br>Observed complete ablation of the methyl mark by the end of day 7.<br>Showed robust tumor growth inhibition, methyl mark reduction and extended total and free plasma exposure time. |

| | |
|---|---|
| Animal Model: | Rat[1] |
| Dosage: | 30, 100, and 300 mg/kg |
| Administration: | Oral, single |
| Result: | |

| dose (mg/kg) | route | $t_{1/2}$ (h) | $t_{max}$ (h) | $C_{max}$ (ng/mL) | $AUC_{inf}$ (h*ng/mL) | time above LCC (h) |
|---|---|---|---|---|---|---|
| 30 | p.o. | 4.7 | 2 | 240 | 970 | 4 |
| 100 | p.o. | 3.9 | 2.7 | 1600 | 5600 | 8 |
| 300 | p.o. | 3.7 | 2.7 | 2900 | 10000 | 10 |

## CUSTOMER VALIDATION

- J Immunother Cancer. 2021 May;9(5):e001335.

- Proc Natl Acad Sci U S A. 2019 Feb 19;116(8):2961-2966.

See more customer validations on www.MedChemExpress.com

## REFERENCES

[1]. Campbell JE, et al. EPZ011989, A Potent, Orally-Available EZH2 Inhibitor with Robust in Vivo Activity. ACS Med Chem Lett. 2015 Mar 4;6(5):491-495.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA