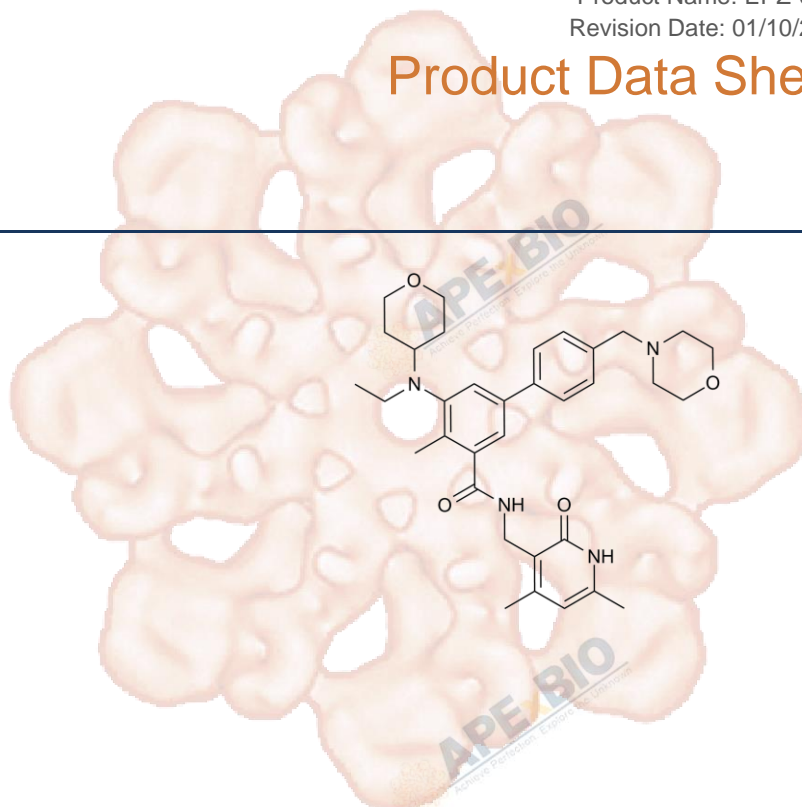


Product Data Sheet

EPZ-6438

| | |
|------------------|---------------------------|
| Cat. No.: | A8221 |
| CAS No.: | 1403254-99-8 |
| Formula: | C34H44N4O4 |
| M.Wt: | 572.74 |
| Synonyms: | E-7438 |
| Target: | Chromatin/Epigenetics |
| Pathway: | Histone Methyltransferase |
| Storage: | Desiccate at -20°C |



Solvent & Solubility

≥28.64 mg/mL in DMSO; insoluble in EtOH; insoluble in H2O

In Vitro

| Preparing Stock Solutions | Solvent | Mass | | |
|---------------------------|----------------------|-----------|-----------|------------|
| | | 1mg | 5mg | 10mg |
| | Concentration | | | |
| | 1 mM | 1.7460 mL | 8.7300 mL | 17.4599 mL |
| | 5 mM | 0.3492 mL | 1.7460 mL | 3.4920 mL |
| | 10 mM | 0.1746 mL | 0.8730 mL | 1.7460 mL |

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

Biological Activity

Shortsummary

EZH2 inhibitor, potent and selective

IC₅₀ & Target

11 nM (Ki=2.5 nM) (EZH2)

In Vitro

Cell Viability Assay

| | |
|----------------------|--|
| Cell Line: | SMARCB1-deficient MRT cells |
| Preparation method: | Limited solubility. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months. |
| Reacting conditions: | 4-7 days |

| | | |
|---------|--------------------------|---|
| | Applications: | EPZ-6438 induces a reduction of global H3K27Me3 level in a concentration-dependent manner. In addition, EPZ-6438 leads to a substantial antiproliferative effects as IC50 values within nanomolar range. Treatment of EPZ-6438 results in expression of CD133, DOCK4, and PTPRK and up-regulates CDKN1A and CDKN2A and BIN1 in a time-dependent manner. |
| In Vivo | Animal experiment | |
| | Animal models: | SCID mice bearing EZH2-mutant lymphoma xenografts. |
| | Dosage form: | 3 times daily every 8 hours, 2 times a day every 12 hours, or once a day schedules for either 7 or 28 days by oral gavage. |
| | Applications: | EPZ-6438 dose-dependently causes a reduction of tumor H3K27Me3 levels (EC50 =23 nmol/L). EPZ-6438 also shows a remarkable antitumor effects in a dose dependent manner with 2 cycles of 7-day on/7-day off and 21-day on/7-day off schedules. All EPZ-6438 dose groups except the lowest one leads to complete tumor regressions. |
| | Other notes: | Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal. |

Product Citations

1. Anastassiia Vertii, Jianhong Ou, et al. "Two Contrasting Classes of Nucleolus-Associated Domains in Mouse Fibroblast Heterochromatin." bioRxiv. 2018 December 03.
2. Jiang S, Zhou H, et al. "The Epstein-Barr Virus Regulome in Lymphoblastoid Cells." Cell Host Microbe. 2017 Oct 11;22(4):561-573.e4.PMID:29024646
3. Arifuzzaman S, Das A, et al. "Selective inhibition of EZH2 by a small molecule inhibitor regulates microglial gene expression essential for inflammation." Biochem Pharmacol. 2017 Apr 19. pii:S0006-2952(17)30233-2.PMID:28431938
4. Wang H, Tian L, et al. "Bone-in-culture array as a platform to model early-stage bone metastases and discover anti-metastasis therapies." Nat Commun. 2017 Apr 21;8:15045.PMID:28429794
5. Xia B, Gerstin E, et al. "Transgenerational programming of longevity through E(z)-mediated histone H3K27 trimethylation in Drosophila." Aging (Albany NY). 2016 Nov 25;8(11):2988-3008.PMID:27889707

See more customer validations on www.apexbt.com.

References

1. Knutson SK, Warholic NM, Wigle TJ et al. Durable tumor regression in genetically altered malignant rhabdoid tumors by inhibition of methyltransferase EZH2. Proc Natl Acad Sci U S A. 2013 May 7;110(19):7922-7.
2. Knutson SK, Kawano S, Minoshima Y et al. Selective inhibition of EZH2 by EPZ-6438 leads to potent antitumor activity in EZH2-mutant non-Hodgkin lymphoma. Mol Cancer Ther. 2014 Apr;13(4):842-54.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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