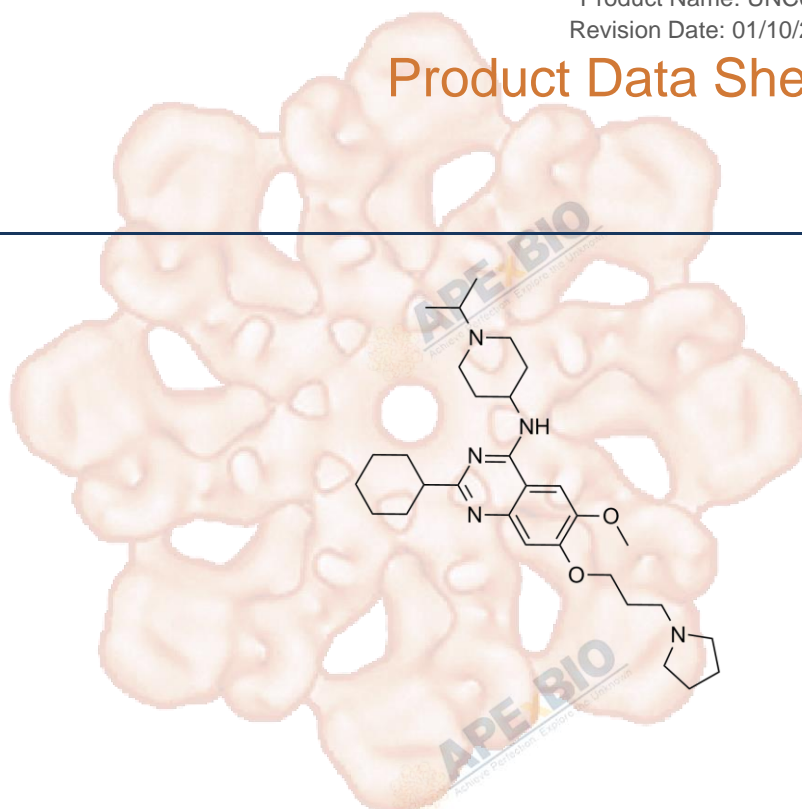


# Product Data Sheet

## UNC0638

|                  |                           |
|------------------|---------------------------|
| <b>Cat. No.:</b> | A1914                     |
| <b>CAS No.:</b>  | 1255580-76-7              |
| <b>Formula:</b>  | C30H47N5O2                |
| <b>M.Wt:</b>     | 509.72                    |
| <b>Synonyms:</b> |                           |
| <b>Target:</b>   | Chromatin/Epigenetics     |
| <b>Pathway:</b>  | Histone Methyltransferase |
| <b>Storage:</b>  | Store at -20°C            |



## Solvent & Solubility

≥25.5 mg/mL in DMSO; insoluble in H<sub>2</sub>O; ≥48.2 mg/mL in EtOH

| In Vitro | Preparing Stock Solutions | Mass                 |           |           |            |
|----------|---------------------------|----------------------|-----------|-----------|------------|
|          |                           | Solvent              | 1mg       | 5mg       | 10mg       |
|          |                           | <b>Concentration</b> |           |           |            |
|          |                           | <b>1 mM</b>          | 1.9619 mL | 9.8093 mL | 19.6186 mL |
|          |                           | <b>5 mM</b>          | 0.3924 mL | 1.9619 mL | 3.9237 mL  |
|          |                           | <b>10 mM</b>         | 0.1962 mL | 0.9809 mL | 1.9619 mL  |

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

## Biological Activity

|                           |  |  |
|---------------------------|--|--|
| Shortsummary              | G9a/GLP HMTase inhibitor, potent and selective |  |
| IC <sub>50</sub> & Target | < 15 nM (G9a), 19 nM (GLP)                     |  |
| In Vitro                  | <b>Cell Viability Assay</b>                    |  |
|                           | Cell Line:                                     | MDA-MB-231 cells   |
|                           | Preparation method:                            | The solubility of this compound in DMSO is >10 mM. 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:     | 80, 250, 500 nM; 1 d to 4 d  |
|         | Applications:            | In MDA-MB-231 cells, in a concentration-dependent manner, exposure to UNC0638 for 48 h reduced H3K9me2 levels with an IC50 value of $81 \pm 9$ nM. Cellular levels of H3K9me2 were progressively reduced from 1 d to 4 d exposure to UNC0638 at the concentrations of 80 nM (IC50), 250 nM (IC90) and 500 nM ( $2 \times$ IC90). The reductions of H3K9me2 levels with 250 nM and 500 nM treatments after 4 d were equal or very close to that of G9a and GLP knockdown cells. The effects of UNC0638 were long-lasting. In cells with 2 d exposure to UNC0638, levels of H3K9me2 remained low after washout of compound followed by 2 d incubation without the inhibitor. |
|         | <b>Animal experiment</b> |  |
| In Vivo | Animal models:           | Swiss Albino mice  |
|         | Dosage form:             | 5 mg/kg; i.p.  |
|         | Applications:            | UNC0638 was not suitable for animal studies due to its poor pharmacokinetic properties.  |
|         | 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. Liu M, Thomas SL, et al. "Dual Inhibition of DNA and Histone Methyltransferases Increases Viral Mimicry in Ovarian Cancer Cells." *Cancer Res.* 2018 Oct 15;78(20):5754-5766.PMID:30185548

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## References

[1]. Vedadi M., Barsyte-Lovejoy D., Liu F., et al. A chemical probe selectively inhibits G9a and GLP methyltransferase activity in cells. *Nature Chemical Biology*, 2011, 7:566-574.

[2]. Liu F, Barsyte-Lovejoy D, Li F, Xiong Y, Korboukh V, Huang XP, Allali-Hassani A, Janzen WP, Roth BL, Frye SV, Arrowsmith CH, Brown PJ, Vedadi M, Jin J. Discovery of an in vivo chemical probe of the lysine methyltransferases G9a and GLP. *J Med Chem.* 2013 Nov 14;56(21):8931-42.

## 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 APEX BIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Short-term storage of many products are stable in the short-term at temperatures that differ from that required for*

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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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