

Data Sheet

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 Product Name
 : A-366

 Cat. No.
 : PC-43110

 CAS No.
 : 1527503-11-2

 Molecular Formula
 : C₁₉H₂₇N₃O₂

 Molecular Weight
 : 329.4366

Target : Histone Methyltransferase (HMTase)

Solubility : 10 mM in DMSO

N O NH

Biological Activity

A-366 is a potent, highly selective inhibitor of histone methyltransferase $\mathbf{G9a/GLP}$ with IC50 of 3/38 nM, >1,000-fold selectivity for G9a over 21 other methyltransferases.

A-366 effects a clear reduction in H3K9 methylation in cells, shows significantly less cytotoxic effects on the growth of tumor cell lines compared to other known G9a/GLP small molecule inhibitors despite equivalent cellular activity on methylation of H3K9me2 (H3K9me2 cellular EC50=0.3 uM).

A-366 induces differentiation and affects viability in MV4;11 cells, demonstrates growth inhibition in vivo consistent with the profile of H3K9me2 reduction in flank xenograft leukemia model.

References

Sweis RF, et al. ACS Med Chem Lett. 2014 Jan 2;5(2):205-9.

Pappano WN, et al. **PLoS One.** 2015 Jul 6;10(7):e0131716.

Agarwal P, et al. *Cancer Lett.* 2016 Oct 1;380(2):467-75.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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