# PRODUCT INFORMATION

## A-366

*Item No. 16081*

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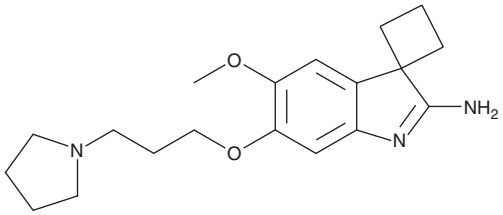
| **CAS Registry No.:** | 1527503-11-2 |
| **Formal Name:** | 5'-methoxy-6'-[3-(1-pyrrolidinyl) propoxy]-spiro[cyclobutane-1,3'-[3H]indol]-2'-amine |
| **MF:** | $C_{19}H_{27}N_3O_2$ |
| **FW:** | 329.4 |
| **Purity:** | ≥98% |
| **UV/Vis.:** | $\lambda_{max}$: 222, 283 nm |
| **Supplied as:** | A crystalline solid |
| **Storage:** | -20°C |
| **Stability:** | ≥4 years |




*Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.*

### Laboratory Procedures

A-366 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-366 in the solvent of choice, which should be purged with an inert gas. A-366 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of A-366 in these solvents is approximately 30 mg/ml.

A-366 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-366 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. A-366 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

A-366 is a potent, peptide-competitive inhibitor of the lysine methyltransferase G9a ($IC_{50}$ = 3.3 nM).[1] It displays greater than 1,000-fold selectivity over 21 other methyltransferases.[1] A-366 significantly reduces the cellular levels of dimethylation on histone 3 at lysine 9 (H3K9Me2) in PC3 cells without reducing total histone 3, H3K27Me3, or H3K36Me2.[1] It also blocks the interaction of the methyl lysine reader protein Spindlin1 with H3K4me3 by binding with a Spindlin1 Tudor domain ($K_d$ = 111 nM).[2] See the Structural Genomics Consortium (SGC) website for more information.

### References


1. Sweis, R.F., Pliushchev, M., Brown, P.J., *et al.* Discovery and development of potent and selective inhibitors of histone methyltransferase G9a. *ACS Med. Chem. Lett.* **5(2)**, 205-209 (2014).
2. Wagner, T., Greschik, H., Burgahn, T., *et al.* Identification of a small-molecule ligand of the epigenetic reader protein Spindlin1 *via* a versatile screening platform. *Nucleic Acids Res.* (2016).





**CAYMAN CHEMICAL**
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
**PHONE:** [800] 364-9897
[734] 971-3335
**FAX:** [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM