PRODUCT INFORMATION



A-366 Item No. 16081

CAS Registry No.:	1527503-11-2	
Formal Name:	5'-methoxy-6'-[3-(1-pyrrolidinyl)	\checkmark
	propoxy]-spiro[cyclobutane-1,3'-	
	[3H]indol]-2'-amine	
MF:	C ₁₉ H ₂₇ N ₃ O ₂	
FW:	329.4	
Purity:	≥98%	
UV/Vis.:	λ _{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 ($K_d = 111 \text{ nM}$).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).

WARNING THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFFTY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

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