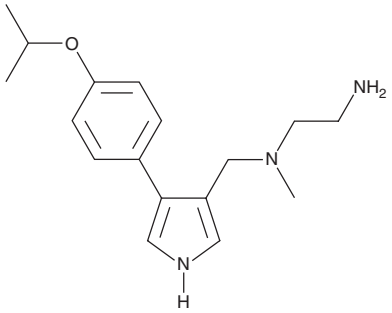
PRODUCT INFORMATION

MS023

Item No. 34786

CAS Registry No.:	1831110-54-3
Formal Name:	N^1-methyl-N^1-[[4-[4-(1-methylethoxy)phenyl]-1H-pyrrol-3-yl]methyl]-1,2-ethanediamine
MF:	$C_{17}H_{25}N_3O$
FW:	287.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 255 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

MS023 is supplied as a crystalline solid. A stock solution may be made by dissolving the MS023 in the solvent of choice, which should be purged with an inert gas. MS023 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of MS023 in these solvents is approximately 30 mg/ml.

MS023 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MS023 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. MS023 has a solubility of approximately 0.09 mg/ml in a 1:10 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

MS023 is an inhibitor of type I protein arginine methyltransferases (PRMTs; IC_{50}s = 30, 119, 83, 4, and 5 nM for PRMT1, -3, -4, -6, and -8, respectively).[1] It is selective for these type I PRMTs over PRMT5, -7, and -9, as well as a panel of 25 protein lysine methyltransferases (PKMTs) and DNA methyltransferases (DNMTs) when used at a concentration of 10 µM. It inhibits the methylation of histone 4 at arginine 3 (H4R3) in MCF-7 breast cancer cells (IC_{50} = 9 nM) and H3R2 in HEK293 cells (IC_{50} = 56 nM). MS023 (20 µM) also inhibits the methylation of the severe acute respiratory syndrome coronavirus 2 (SARS-CoV-2) nucleocapsid protein and the replication of SARS-CoV-2 in Vero E6 cells.[2] It reduces tumor growth in a Huh7 mouse xenograft model when administered at a dose of 160 mg/kg.[3]

References

1. Eram, M.S., Shen, Y., Szewczyk, M.M., *et al.* A potent, selective, and cell-active inhibitor of human type I protein arginine methyltransferases. *ACS Chem. Biol.* **11(3)**, 772-781 (2015).
2. Cai, T., Yu, Z., Wang, Z., *et al.* Arginine methylation of SARS-Cov-2 nucleocapsid protein regulates RNA binding, its ability to suppress stress granule formation, and viral replication. *J. Biol. Chem.* **297(1)**, 100821 (2021).
3. Hu, G., Yan, C., Xie, P., *et al.* PRMT2 accelerates tumorigenesis of hepatocellular carcinoma by activating *Bcl2* via histone H3R8 methylation. *Exp. Cell Res.* **394(2)**, 112152 (2020).

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