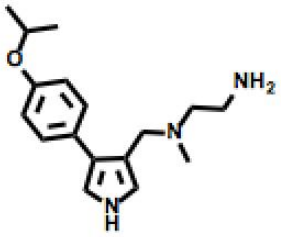
Xcess Biosciences Inc.
7144 N Harlem Ave #169
Chicago, IL 60631 USA

http://www.xcessbio.com
Toll free: 1-866-706-2330
Fax: 1-619- 810-0718
Email: info@xcessbio.com

PRMT Inhibitor - MS023

Chemical Name: N1-((4-(4-isopropoxyphenyl)-1H-pyrrol-3-yl)methyl)-N1-methylethane-1,2-diamine



Molecular Weight:	287.40
Formula:	$C_{17}H_{25}N_3O$
Purity:	≥98%
CAS#:	1831110-54-3
Solubility:	DMSO up to 100 mM EtOH up to 100 mM
Storage	Powder: 4 °C 1 year DMSO: 4 °C 3 months -20 °C 1 year

Biological Activity:

MS023 is a potent, selective and cell permeable type I PRMT inhibitor (catalyzes mono- and asymmetric dimethylation of arginine residues) with IC_{50} of 30 nM, 119 nM, 83 nM, 4 nM and 5 nM for PRMT1, PRMT3, PRMT4, PRMT6 and PRMT8, respectively. It is completely inactive against type II and type III PRMTs, protein lysine methyltransferases and DNA methyltransferases. A crystal structure of PRMT6 in complex with MS023 revealed that MS023 binds the substrate binding site. MS023 potently reduces cellular levels of H4R3me2a in MCF7 and HEK293 cells by inhibiting PRMT1/6 methyltransferase activity with IC_{50} of 9 nM and 56 nM, respectively. It potently decreased cellular levels of histone arginine asymmetric dimethylation. It also reduced global levels of arginine asymmetric dimethylation and concurrently increased levels of arginine monomethylation and symmetric dimethylation in cells.

How to Use:

In vitro: MS023 was used at 10 μM final concentration in various assays.

In vivo: n/a

Reference:

1. Eram MS, et al. A Potent, Selective, and Cell-Active Inhibitor of Human Type I Protein Arginine Methyltransferases. (2016) ACS Chem Biol. 11(3):772-81.