Product Data Sheet

Product Name: MS023 (hydrochloride)
Cat. No.: GC16432

Chemical Properties

Cas. No.	2108631-19-0		
Chemical Name	N^1-methyl-N^1-[[4-[4-(1-methylethoxy)phenyl]-1H-pyrrol-3-yl]methyl]-1,2-ethanediamine, trihydrochloride		
SMILES	CC(C)OC1=CC=C(C2=CNC=C2CN(C)CCN)C=C1.Cl.Cl.Cl		
Formula	$C_{17}H_{25}N_3O$ • 3HCl	M.Wt	396.8
Solubility	≤30mg/ml in ethanol;30mg/ml in DMSO;30mg/ml in dimethyl formamide	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	MS023 (hydrochloride)		

Background

IC50: 20, 119, 83, 8, and 8 nM for PRMT1, 3, 4, 6, and 8, respectively

MS023 is a type I PRMT inhibitor.

Protein arginine methyltransferases (PRMTs) play a critical role in various biological processes. Overexpression of PRMTs is implicated in various human diseases, such as cancer. Thus, selective inhibitors of PRMTs have been pursued by both academia as chemical tools for evaluating biological and therapeutic hypotheses.

In vitro: MS023 was identified to have high potency for type I PRMTs including PRMT1, -3, -4, -6, and -8 but was inactive against type II and type III PRMTs, protein lysine methyltransferases as well as DNA methyltransferases. The crystal structure of PRMT6 with MS023 indicated that MS023 bound to the substrate binding site. Moreover, MS023 could potently decrease the cellular levels of histone arginine asymmetric dimethylation.

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In cells, MS023 also ould reduce the levels of arginine asymmetric dimethylation and increase levels of arginine monomethylation and symmetric dimethylation. Therefore, MS023 is a useful chemical tool for testing the role of type I PRMTs in health and disease [1].

In vivo: So far, there is no animal data reported.

Clinical trial: Up to now, MS023 is still in the preclinical development stage.

Reference:
[1] M. S. Eram, Y. Shen, M. M. Szewczyk, et al. A potent, selective, and cell-active inhibitor of human type I protein arginine methyltransferases. ACS Chemical Biology 11.8.15, (2015).