Product Data Sheet

Product Name: Methylstat
Cat. No.: GC67966

Chemical Properties

Cas. No.	1310877-95-2		
Formula	$C_{28}H_{31}N_3O_6$	M.Wt	505.56
Solubility	DMSO : 100 mg/mL (197.80 mM; Need ultrasonic)	Storage	4°C, protect from light
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	Methylstat		

Background

Methylstat is a potent **histone demethylases** inhibitor. Methylstat shows anti-proliferative activity with low cytotoxicity. Methylstat induces **Apoptosis** and cell cycle arrest at G0/G1 phase. Methylstat increases the expression of **p53** and p21 protein levels. Methylstat inhibits angiogenesis induced by various cytokines. Methylstat can be used as a chemical probe for addressing its role in angiogenesis[1][2].

Methylstat (0-5 μM; 48, 72 h) shows anti-proliferative activity with no cytotoxicity on HUVECs at 1-2 μM[1].
Methylstat (0, 1, 2 μM; 48 h) induces cell cycle arrest at G0/G1 phase in a dose-dependent manner[1].
Methylstat (0, 1, 2 μM; 48 h) increases the expression of p53 mRNA levels, the H3K27 methylation levels and the accumulation of p53 and p21 protein levels, but suppresses the protein level of cyclinD1[1].
Methylstat (0, 1, 2 μM) shows anti-angiogenic activity induced by VEGF, bFGF and TNF-α in HUVEC cells, and inhibits the f capillary formation during CAM (chick embryo chorioallantoic membrane) development without any sign of thrombosis and

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hemorrhage[1].
Methylstat (1.1, 2.2 mM for U266 cells, 2.1, 4.2 mM for ARH77 cells; 72 h) induces apoptosis significantly in U266 and ARH77 cells[2].

Cell Cytotoxicity Assay[1]

Cell Line:	HUVEC cells
Concentration:	0-5 μM
Incubation Time:	48, 72 h
Result:	Did not exhibit cytotoxicity on HUVECs at 1-2 μM.

Cell Viability Assay[1]

Cell Line:	HUVEC, HepG2, HeLa, CHANG cells
Concentration:	0-5 μM
Incubation Time:	72 h
Result:	Showed anti-proliferative activity with IC_{50}s of 4, 10, 5, 7.5 μM for HUVEC, HepG2, HeLa, CHANG cells, respectively.

Cell Cycle Analysis[1]

Cell Line:	HUVEC cells
Concentration:	0, 1, 2 μM
Incubation Time:	48 h
Result:	G0/G1 phase increased 16.8% compared to non-treated cells, whereas S andG2/M decreased 5.5% and 6.1% respectively.

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Western Blot Analysis[1]

Cell Line:	HUVEC cells
Concentration:	0, 1, 2 μM
Incubation Time:	0-48 h
Result:	Resulted in accumulation of p53 and p21 protein levels in a time- and dose-dependent manner and increased the H3K27 methylation levels, the but suppressed the protein level of cyclinD1.

Apoptosis Analysis[2]

Cell Line:	U266, ARH77 cells
Concentration:	1.1, 2.2 mM for U266 cells, 2.1, 4.2 mM for ARH77 cells
Incubation Time:	72 h
Result:	Induced apoptosis in U266, ARH77 cells.

[1]. Yumi Cho, et al. A histone demethylase inhibitor, methylstat, inhibits angiogenesis in vitro and in vivo. RSC Advances, 2014.
[2]. Kac? FN, et al. Synergistic Apoptotic Effects of Bortezomib and Methylstat on Multiple Myeloma Cells. Arch Med Res. 2020 Apr;51(3):187-193.

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