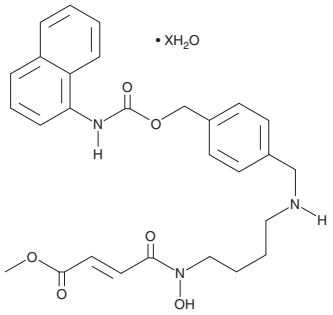
PRODUCT INFORMATION

Methylstat (hydrate)

Item No. 11091

Formal Name:	4-[hydroxy[4-[[[4-[[[(1-naphthalenylamino) carbonyl]oxy]methyl]phenyl]methyl]amino]butyl] amino]-4-oxo-2E-butenoic acid, methyl ester, hydrate
MF:	$C_{28}H_{31}N_3O_6 \bullet XH_2O$
FW:	505.6
Purity:	≥98%
UV/Vis.:	λ_{max}: 222 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

Methylstat (hydrate) is supplied as a crystalline solid. A stock solution may be made by dissolving the methylstat (hydrate) in the solvent of choice, which should be purged with an inert gas. Methylstat (hydrate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of methylstat (hydrate) in these solvents is approximately 25 mg/ml.

Methylstat (hydrate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, methylstat (hydrate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Methylstat (hydrate) has a solubility of approximately 0.02 mg/ml in a 1:40 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Methylstat is a methyl ester prodrug of a Jumonji C domain-containing histone demethylase (JMJD) inhibitor that has favorable cell permeability.[1] The free acid of methylstat inhibits JMJD2A, JMJD2C, JMJD2E, PHF8, and JMJD3 with IC_{50} values of approximately 4.3, 3.4, 5.9, 10, and 43 µM, respectively, in an *in vitro* assay. Methylstat inhibits growth of the JMJD2C-sensitive esophageal cancer cell line KYSE150 with a GI_{50} of 5.1 µM, whereas the free acid of methylstat did not inhibit cell growth up to 100 µM. Methylstat induces histone hypermethylation at multiple sites in a concentration-dependent manner (EC_{50} for H3K4me3 and H3K9me3 = 10.3 and 8.6 µM in KYSE150 cells and 6.7 ad 6.3 µM in MCF-7 cells, respectively).

Reference

1. Luo, X., Liu, Y., Kubicek, S., *et al*. A selective inhibitor and probe of the cellular functions of Jumonji C domain-containing histone demethylases. *J. Am. Chem. Soc.* **133(24)**, 9451-9456 (2011).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM