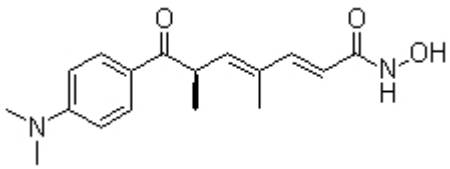
Product Introduction

Trichostatin A (TSA)

Trichostatin A (TSA) is an **HDAC** inhibitor with **IC50** of ~1.8 nM – HDAC8 is the only known member of the HDAC-family that is not affected by TSA. Phase 3.

Technical Data:

Molecular Weight (MW):	302.4	
Formula:	$C_{17}H_{22}N_2O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 23 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	58880-19-6	

Biological Activity

Trichostatin A inhibits the proliferation of eight breast carcinoma cell lines including MCF-7, T-47D, ZR-75-1, BT-474, MDA-MB-231, MDA-MB-453, CAL 51, and SK-BR-3 with mean IC50 of 124.4 nM (range, 26.4-308.1 nM), with more potency against cell lines that express ERα than the ERα-negative cell lines. Trichostatin A inhibits HDAC activity similarly in all the breast cancer cell lines with mean IC50 of 2.4 nM (range, 0.6-2.6 nM), and results in pronounced histone H4 hyperacetylation. [1] Unlike Trapoxin (TPX) and Chlamydocin which potently inhibit HDAC1 or HDAC4 but not HDAC6, Trichostatin A inhibits these HDACs

to a similar extent with IC50 of 6 nM, 38 nM, and 8.6 nM, respectively. [2] Trichostatin A (100 ng/mL) treatment induces the expression of transforming growth factor β type II receptor (TβRII) in MIA PaCa-2 cells through the recruitment of p300 and PCAF into a Sp1-NF-Y HDAC complex that binds the DNA element of TβRII promoter, which is associated with a concomitant acetylation of Sp1 and an overall decrease in the amount of HDAC associated with the complex. [4]

Administration of Trichostatin A at 0.5 mg/kg for 4 weeks displays potent antitumor activity in the N-methyl-N-nitrosourea carcinogen-induced rat mammary carcinoma model, without any measurable toxicity at doses up to 5 mg/kg. [1] Single intraperitoneal doses of 10 mg/kg Trichostatin A in nontransgenic and spinal muscular atrophy (SMA) model mice results in increased levels of acetylated H3 and H4 histones and modest increases in survival motor neuron (SMN) gene expression. Administration of Trichostatin A at 10 mg/kg/day improves survival, attenuates weight loss, and enhances motor behavior in the SMA model mice. [5]

References

[1] Vigushin DM, et al. Clin Cancer Res, 2001, 7(4), 971-976.

[2] Furumai R, et al. Proc Natl Acad Sci U S A, 2001, 98(1), 87-92.

[3] Kim MS, et al. Cancer Res, 2003, 63(21), 7291-7300.

[4] Huang W, et al. J Biol Chem, 2005, 280(11), 10047-10054.

[5] Avila AM, et al. J Clin Invest, 2007, 117(3), 659-671.

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