Small Molecules

Chaetocin

Epigenetic modifier; Inhibits histone methyltransferase SU(VAR)3-9

Catalog # 73592

500 µg

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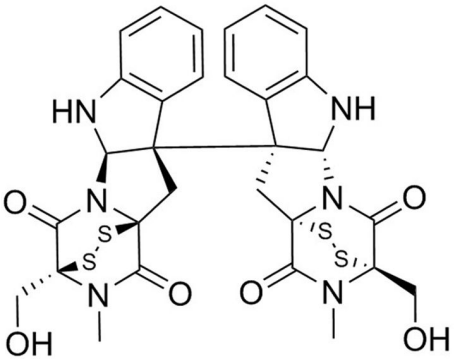
Product Description

Chaetocin is a fungal mycotoxin, originally produced by Chaetomium species, that inhibits the lysine-specific histone methyltransferases (HMTs) SU(VAR)3-9 (IC_{50} = 0.8 µM). HMTs are responsible for methylation of histones, thereby affecting heterochromatinization. Chaetocin is also known to inhibit G9a and DIM5 (IC_{50} = 2.5 µM and 3 µM respectively; Cherblanc et al.; Greiner et al.). Chaetocin also acts as a competitive substrate and inhibitor of the central oxidative stress remediation enzyme thioredoxin reductase-1 (TrxR1; Km = 4.6 µM) more potently than glutathione reductase or thioredoxin (Tibodeau et al.).

Molecular Name:	Chaetocin
Alternative Names:	Chaetocin A
CAS Number:	28097-03-2
Chemical Formula:	$C_{30}H_{28}N_6O_6S_4$
Molecular Weight:	696.8 g/mol
Purity:	≥ 95%
Chemical Name:	(3S,3'S,5aR,5aR,10bR,10'bR,11aS,11'aS)-2,2',3,3',5a,5'a,6,6'-octahydro-3,3'-bis(hydroxymethyl)-2,2'-dimethyl-[10b,10'b(11H,11'H)-bi3,11a-epidithio-11aH-pyrazino[1',2':1,5]pyrrolo[2,3-b]indole]-1,1',4,4'-tetrone
Structure:	

Properties

Physical Appearance:	A crystalline solid
Storage:	Product stable at -20°C as supplied. Protect product from prolonged exposure to light. For long-term storage store with a desiccant. For product expiry date, please contact techsupport@stemcell.com.
Solubility:	· DMSO ≤ 20 mM For example, to prepare a 10 mM stock solution in DMSO, resuspend 0.5 mg in 72 µL of DMSO. Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application. Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH
· Induces cellular oxidative stress, selectively killing cancer cells and rapidly-proliferating primary cells (Isham et al.; Spannhoff et al.).
· Attenuates the growth of glioma xenografts accompanied by an increase in reactive oxygen species (ROS) production (Dixit et al.).

References

Cherblanc FL et al. (2013) Chaetocin is a nonspecific inhibitor of histone lysine methyltransferases. Nat Chem Biol 9(3): 136–7.
Dixit D et al. (2014) Chaetocin-induced ROS-mediated apoptosis involves ATM-YAP1 axis and JNK-dependent inhibition of glucose metabolism. Cell Death Dis 5: e1212.
Greiner D et al. (2005) Identification of a specific inhibitor of the histone methyltransferase SU(VAR)3-9. Nat Chem Biol 1(3): 143–5.
Isham CR et al. (2007) Chaetocin: a promising new antimyeloma agent with in vitro and in vivo activity mediated via imposition of oxidative stress. Blood 109(6): 2579–88.
Spannhoff A et al. (2009) Cancer treatment of the future: inhibitors of histone methyltransferases. Int J Biochem Cell Biol 41(1): 4–11.
Tibodeau JD et al. (2009) The anticancer agent chaetocin is a competitive substrate and inhibitor of thioredoxin reductase. Antioxid Redox Signal 11(5): 1097–106.

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This product is hazardous. Please refer to the Safety Data Sheet (SDS).