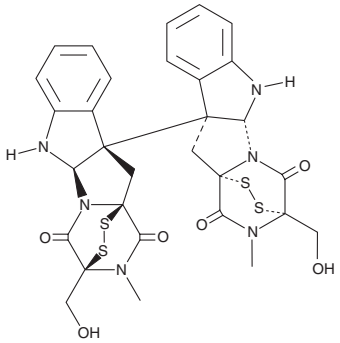
PRODUCT INFORMATION

Chaetocin

Item No. 13156

CAS Registry No.: 28097-03-2
Formal Name: 2,2',3S,3'S,5aR,5'aR,6,6'-octahydro-3,3'-*bis*(hydroxymethyl)-2,2'-dimethyl-[10bR,10'bR(11aS,11'aS)-bi-3,11a-epidithio-11aH-pyrazino[1',2':1,5]pyrrolo[2,3-b]indole]-1,1',4,4'-tetrone
MF: $C_{30}H_{28}N_6O_6S_4$
FW: 696.8
Purity: ≥95%
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

Chaetocin is supplied as a crystalline solid. A stock solution may be made by dissolving the chaetocin in the solvent of choice, which should be purged with an inert gas. Chaetocin is soluble in organic solvents such as DMSO. The solubility of chaetocin in DMSO is approximately 25 mg/ml.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

The methylation of histones by histone methyltransferases (HMT) is an important mechanism by which gene expression is stably altered during cellular differentiation and embryonic development. Chaetocin is a fungal mycotoxin that inhibits the HMT SU(VAR)3-9 (IC_{50} = 0.8 µM). It inhibits other Lys9-specific HMTs, including G9a (IC_{50} = 2.5 µM) and DIM5 (IC_{50} = 3 µM).[1] Selectivity for Lys9-HMTs is indicated by higher IC_{50} values (>90 µM) for Lys20-specific PRSET7, Lys27-specific EZH2, and Lys4-specific SET7/9. Chaetocin potently induces cellular oxidative stress, selectively killing cancer cells and rapidly-proliferating primary cells.[1,2] Chaetocin's effects on oxidative stress are, at least in part, due to its capacity to act as a competitive and selective substrate for thioredoxin reductase-1 (K_m = 4.6 µM).[3] Chaetocin is useful both in epigenetic studies as an HMT inhibitor and in cancer research.[4-6]

References

1. Greiner, D., Bonaldi, T., Eskeland, R., *et al. Nat. Chem. Biol.* **1(3)**, 143-145 (2005).
2. Isham, C.R., Tibodeau, J.D., Jin, W., *et al. Blood* **109(6)**, 2579-2588 (2007).
3. Tibodeau, J.D., Benson, L.M., Isham, C.R., *et al. Antioxid. Redox Signal.* **11(5)**, 1097-1106 (2009).
4. Bissinger, E.-M., Heinke, R., Sippl, W., *et al. Med. Chem. Commun.* **1(2)**, (2010).
5. Spannhoff, A., Sippl, W., and Jung, M. *Int. J. Biochem. Cell Biol.* **41(1)**, 4-11 (2009).
6. Copeland, R.A., Solomon, M.E., and Richon, V.M. *Nat. Rev. Drug Discov.* **8**, 724-732 (2009).

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