

PRODUCT INFORMATION

Sinefungin (trifluoroacetate salt)

Item No. 41004

Formal Name: 2S,5S-diamino-6-((2R,3S,4R,5R)-5-(6-amino-9H-purin-9-yl)-3,4-dihydroxytetrahydrofuran-2-yl)hexanoic acid, trifluoroacetate salt

Synonyms: A 9145, Adenosyl-ornithine, Antibiotic A 9145

MF: $C_{15}H_{23}N_7O_5 \cdot XCF_3COOH$

FW: 381.4

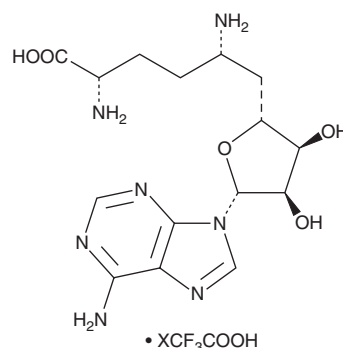
Purity: $\geq 95\%$

Supplied as: A solid

Storage: $-20^\circ C$

Stability: ≥ 4 years

Item Origin: Synthetic



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Sinefungin (trifluoroacetate salt) is supplied as a solid. A stock solution may be made by dissolving the sinefungin (trifluoroacetate salt) in the solvent of choice, which should be purged with an inert gas. Sinefungin (trifluoroacetate salt) is slightly soluble (0.1-1 mg/ml) in acetonitrile.

Sinefungin (trifluoroacetate salt) is sparingly soluble (1-10 mg/ml) in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure 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

Sinefungin is a purine nucleoside and derivative of S-adenosylhomocysteine (Item No. 13603) that has been found in *Streptomyces* and has diverse biological activities.^{1,2} It inhibits G9a methyltransferase and SET domain-containing protein 7 (SET7) with IC_{50} values of 10.4 and 2.38 μM , respectively, using histone H3 (1-21) peptide as a substrate and 150 and 9.1 μM , respectively, using full-length histone H3 as a substrate.³ It also inhibits protein arginine methyltransferase 5 (PRMT5) with IC_{50} values of 0.31 and 0.69 μM using histone H4 (1-21) peptide and full-length histone H4, respectively, as substrates. Sinefungin (10 mg/kg) reduces renal levels of the mesenchymal marker α -smooth muscle actin (α -SMA), fibrosis markers ferroptosis suppressor protein 1 (Fsp1), collagen 1, collagen 3, and fibronectin, and monomethylation of histone H3 lysine 4 (H3K4me1) in a mouse model of unilateral ureteral obstruction.⁴

References

- Nolan, L.L. Molecular target of the antileishmanial action of sinefungin. *Antimicrob. Agents Chemother.* **31**(10), 1542-1548 (1987).
- Maguire, M.P., Feldman, P.L., and Rapoport, H. Stereoselective synthesis and absolute stereochemistry of sinefungin. *J. Org. Chem.* **55**(3), 948-955 (1990).
- Horiuchi, K.Y., Eason, M.M., Ferry, J.J., et al. Assay development for histone methyltransferases. *Assay Drug Dev. Technol.* **11**(4), 227-236 (2013).
- Sasaki, K., Doi, S., Nakashima, A., et al. Inhibition of SET domain-containing lysine methyltransferase 7/9 ameliorates renal fibrosis. *J. Am. Soc. Nephrol.* **27**(1), 203-215 (2016).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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