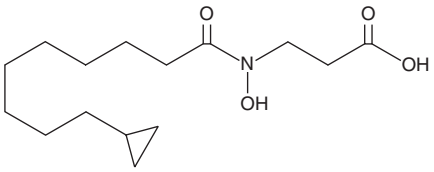
PRODUCT INFORMATION

TC-E 5002

Item No. 17717

CAS Registry No.: 1453071-47-0
Formal Name: N-(9-cyclopropyl-1-oxononyl)-N-hydroxy-β-alanine
MF: $C_{15}H_{27}NO_4$
FW: 285.4
Purity: ≥98%
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

TC-E 5002 is supplied as a crystalline solid. A stock solution may be made by dissolving the TC-E 5002 in the solvent of choice, which should be purged with an inert gas. TC-E 5002 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of TC-E 5002 in these solvents is approximately 50, 30, and 10 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of TC-E 5002 can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of TC-E 5002 in PBS, pH 7.2, is approximately 0.25 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

TC-E 5002 is an inhibitor of the histone lysine demethylase (KDM) subfamily KDM2/7 (IC_{50}s = 6.8, 0.2, and 1.2 µM for KDM2A, KDM7A, and KDM7B, respectively).[1] It is selective for the KDM2/7 subfamily over KDM4A, KDM4C, KDM5A, and KDM6A/UTX (IC_{50}s = >120, 83, 55, and 100 µM, respectively). It inhibits the growth of N2a, KYSE150, and HeLa cells with GI_{50} values of 86, 16, and 40 µM, respectively, which are in the range where it increases H3K27me2 levels in KYSE150 and HeLa cells. TC-E 5002 decreases gene expression of E2F1, a transcription factor activated by KDM7B that leads to progression of the cell cycle. In addition, TC-E 5002 treatment leads to cell cycle arrest at the G_0/G_1 phase in KYSE150 and HeLa cells.

Reference

1. Suzuki, T., Osaza, H., Itoh, Y., *et al.* Identification of the KDM2/7 histone lysine demethylase subfamily inhibitor and its antiproliferative activity. *J. Med. Chem.* **56(18)**, 7222-7231 (2013).

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