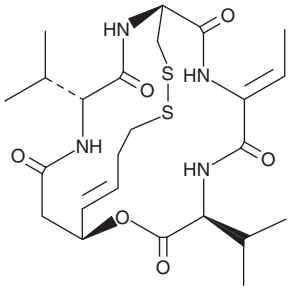
PRODUCT INFORMATION

Romidepsin

Item No. 17130

CAS Registry No.: 128517-07-7
Formal Name: cyclic (3→5)-disulfide-cyclo[(2Z)-2-amino-2-butenoyl-L-valyl-3S-hydroxy-7-mercapto-4E-heptenoyl-D-valyl-D-cysteinyl]
Synonyms: FK228, FR901228, NSC 630176
MF: $C_{24}H_{36}N_4O_6S_2$
FW: 540.7
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

Romidepsin is supplied as a crystalline solid. A stock solution may be made by dissolving the romidepsin in the solvent of choice. Romidepsin is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of romidepsin in these solvents is approximately 10, 20, and 5 mg/ml, respectively.

Romidepsin is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, romidepsin should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. Romidepsin has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Romidepsin, also known as FK228, is a natural bicyclic depsipeptide that, following reduction, selectively inhibits class I histone deacetylases (HDACs).[1] Reduction of a disulfide bond on romidepsin within the cell generates a zinc-binding thiol, allowing potent and selective inhibition of HDAC1, 2, 3, and 8 (IC_{50}s = 53, 39, 53, and 26 nM, respectively) over HDAC4, 6, 7, and 9 (IC_{50}s = 470, 330, 3,200, and 12,000 nM, respectively).[2,3] Through its effects on HDACs, romidepsin has anti-cancer activities, particularly against certain T cell lymphomas.[4-6] Romidepsin also increases mRNA expression and nuclear protein levels of HDAC2, H3 acetylation and transcription of *Grin2a*, the gene for the NMDA receptor NR2A subunit, and protein levels of the NR2A subunit in the brain of Shank3-deficient mice, a model of autism.[7] It also increases excitatory postsynaptic currents (EPSCs) in prefrontal cortex pyramidal neurons from Shank3-deficient mice. In addition, romidepsin rescues autism-like social deficits in Shank3-deficient mice for at least three weeks following administration of a 0.25 mg/kg per day dose for three days.

References

1. Nakajima, H., Kim, Y.B., Terano, H., *et al.* Exp. Cell Res. 241, 126-133 (1998).
2. Wang, C., Henkes, L.M., Doughty, L.B., et al. J. Nat. Prod.74(10), 2031-2038 (2011).
3. Mazitschek, R., Patel, V., Wirth, D.F., *Bioorg. Med. Chem. Lett.* **18(9)**, 2809-2812 (2008).
4. Ueda, H., Manda, T., Matsumoto, S., *et al. J. Antibiot. (Tokyo)* 47(3), 315-323 (1994).
5. VanderMolen, K.M., McCulloch, W., Pearce, C.J., *et al. J. Antibiot. (Tokyo)* **67(8)**, 525-231 (2015).
6. Coiffier, B., Federico, M., Caballero, D., *et al. Cancer Treat. Rev.* **40(9)**, 1080-1088 (2014).
7. Qin, L., Ma, K., Wang, Z.-J., *et al. Nat. Neurosci.* **21(4)** 564-575 (2018).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM