

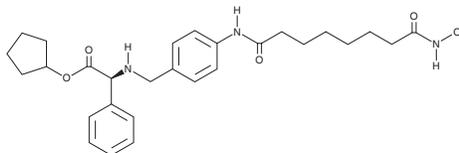
PRODUCT INFORMATION



Tefinostat

Item No. 43665

CAS Registry No.: 914382-60-8
Formal Name: α S-[[[4-[[8-(hydroxyamino)-1,8-dioxooctyl]amino]phenyl]methyl]amino]-benzeneacetic acid, cyclopentyl ester
MF: C₂₈H₃₇N₃O₅
FW: 495.6
Purity: \geq 98%
Supplied as: A solid
Storage: -20°C
Stability: \geq 4 years



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

Laboratory Procedures

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

Description

Tefinostat is a monocyte-selective pan-inhibitor of histone deacetylases (HDACs) and a prodrug form of CHR-2847.¹ It is converted to CHR-2847 by carboxylesterase 1 (CES1), which is selectively expressed in monocyte lineage cells, as well as some hepatocytes. Tefinostat increases intracellular protein acetylation in CD14⁺ primary human acute myeloid leukemia (AML) cells and gamma histone H2AX (γ H2AX) levels in primary chronic myelomonocytic leukemia (CMML) cells in a concentration-dependent manner. It selectively inhibits the growth of primary AML cells over normal bone marrow cells when used at a concentration of 500 nM. Tefinostat (2 μ M) induces β -oxidation of the very long-chain fatty acid hexacosanoic acid (Item No. 13354) in primary human macrophages.² It also inhibits the migration of isolated human peripheral blood mononuclear cells (PBMCs) toward supernatant isolated from LPS-stimulated primary human macrophages.

References

1. Zabkiewicz, J., Gilmour, M., Hills, R., *et al.* The targeted histone deacetylase inhibitor tefinostat (CHR-2845) shows selective *in vitro* efficacy in monocytoid-lineage leukaemias. *Oncotarget* **7**(13), 16650-16662 (2016).
2. Villoria-González, A., Zierfuss, B., Parzer, P., *et al.* Efficacy of HDAC inhibitors in driving peroxisomal β -oxidation and immune responses in human macrophages: Implications for neuroinflammatory disorders. *Biomolecules* **13**(12), 1696 (2023). [erence](https://doi.org/10.3390/biom13121696)

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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