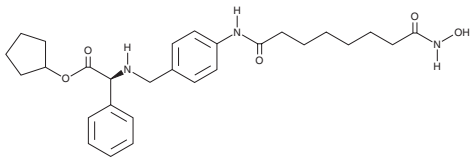
PRODUCT INFORMATION

Tefinostat

Item No. 43665

CAS Registry No.: 914382-60-8
Formal Name: αS-[[[4-[[8-(hydroxyamino)-1,8-dioxooctyl]amino]phenyl]methyl]amino]-benzeneacetic acid, cyclopentyl ester
MF: $C_{28}H_{37}N_3O_5$
FW: 495.6
Purity: ≥98%
Supplied as: A 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

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 (γH2AX) 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 µM) 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

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