Tefinostat

Cat. No.: HY-106409

CAS No.: 914382-60-8

Molecular Formula: $C_{28}H_{37}N_3O_5$

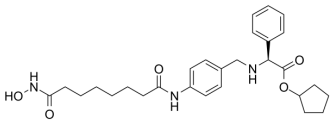
Molecular Weight: 495.61

Target: HDAC; Apoptosis

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (201.77 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0177 mL	10.0886 mL	20.1772 mL
5 mM	0.4035 mL	2.0177 mL	4.0354 mL
10 mM	0.2018 mL	1.0089 mL	2.0177 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.04 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (1.67 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tefinostat (CHR-2845) is a monocyte/macrophage targeted histone deacetylase (HDAC) inhibitor. Tefinostat can be cleaved into active acid CHR-2847 by the intracellular esterase human carboxylesterase-1 (hCE-1). Tefinostat can be used for the research of leukaemias[1].

IC_{50} & Target

HDAC

In Vitro

Tefinostat (CHR-2845) (1-4 nM) has efficacy in AML cell lines HL60 (M2 FAB type), MV411 (M4, FLT3-ITD), OCIAML3 (M4

NPM1mut) and THP1 (M5) with EC_{50} values of 2.3 μM, 57 nM, 110 nM and 560 nM, respectively[1].
Tefinostat (0, 0.5, 1 and 5μM; 24, 48 h) has dose-dependent induction of apoptosis and significant growth inhibitory effects[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	AML cell lines
Concentration:	1-4 nM
Incubation Time:	
Result:	Had significant growth inhibitory effects.

Apoptosis Analysis[1]

Cell Line:	myelo-monocytic cell lines and HL60 cells
Concentration:	0, 0.5, 1 and 5μM
Incubation Time:	24, 48 h
Result:	Showed strong apoptotic induction in myelo-monocytic cell lines THP1, MV411 (FLT3-ITD) and OCIAML3 within 24 hours and only reached in nonmonocytic HL60 cells at much higher concentrations.

REFERENCES

[1]. Zabkiewicz J, et al. The targeted histone deacetylase inhibitor tefinostat (CHR-2845) shows selective in vitro efficacy in monocytoid-lineage leukaemias. Oncotarget. 2016 Mar 29;7(13):16650-62.

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