Romidepsin

Cat. No.: HY-15149

CAS No.: 128517-07-7

Molecular Formula: $C_{24}H_{36}N_4O_6S_2$

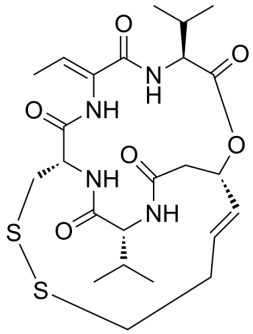
Molecular Weight: 540.7

Target: HDAC; Apoptosis

Pathway: Cell Cycle/DNA Damage; Epigenetics; Apoptosis

Storage: Powder -20°C 3 years

* The compound is unstable in solutions, freshly prepared is recommended.



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (184.95 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.8495 mL	9.2473 mL	18.4945 mL
5 mM	0.3699 mL	1.8495 mL	3.6989 mL
10 mM	0.1849 mL	0.9247 mL	1.8495 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: ≥ 2.08 mg/mL (3.85 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.08 mg/mL (3.85 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.85 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Romidepsin (FK 228) is a Histone deacetylase (HDAC) inhibitor with anti-tumor activities. Romidepsin (FK 228) inhibits HDAC1, HDAC2, HDAC4, and HDAC6 with IC_{50}s of 36 nM, 47 nM, 510 nM and 1.4 μM, respectively[1]. Romidepsin (FK 228) is produced by Chromobacterium violaceum, induces cell G2/M phase arrest and apoptosis[2].

IC_{50} & Target

HDAC1	HDAC2	HDAC4	HDAC6
36 nM (IC_{50})	47 nM (IC_{50})	510 nM (IC_{50})	14000 nM (IC_{50})

In Vitro

Romidepsin (0-72 hours; 0-80 nM) inhibits proliferation of HCC cells in dose-dependent manner[2].

Romidepsin (0-48 hours; 0-60 nM) leads to a time- and dose-dependent induction of cell cycle arrest in the G2/M phase in HCC cells[2].
Romidepsin (0-48 hours; 0-60 nM) promotesapoptosis in HCC cells, increases c-caspase-3, c-caspase-9, and c-PARP protein expression[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[2]

Cell Line:	HCC cells
Concentration:	0 nM; 10 nM; 20 nM; 30 nM; 40 nM; 50 nM; 60 nM; 70 nM; 80 nM
Incubation Time:	0 hours; 12 hours; 24 hours; 48 hours; 72 hours
Result:	Inhibited HCC cells proliferation.

Cell Cycle Analysis[2]

Cell Line:	HCC cells
Concentration:	0 nM; 15 nM; 30 nM; 60 nM
Incubation Time:	12 hours;24 hours; 48 hours
Result:	Caused a G2/M arrest.

Western Blot Analysis[2]

Cell Line:	HCC cells
Concentration:	0 nM; 15 nM; 30 nM; 60 nM
Incubation Time:	12 hours;24 hours; 48 hours
Result:	Increaesd c-caspase-3, c-caspase-9, and c-PARP expression in HCC cells.

In Vivo

Romidepsin (intraperitoneal injection; 0.5 and 1 mg/kg; every 3 day; 21 days) inhibited the tumor growth, reveals a higher expression of p-cdc25C, ki67, c-caspase-3 and c-PARP, and a lower expression of Ki-67 in Romidepsin treated tumors [2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Nude mice with Huh7 cells[2]
Dosage:	0.5 and 1 mg/kg
Administration:	Intraperitoneal injection; 0.5 and 1 mg/kg; every 3 day; 21 days
Result:	Suppressed tumor growth in mouse xenograft models.

CUSTOMER VALIDATION

- Cancer Cell. 2023 Mar 13;41(3):602-619.e11.
- Theranostics. 2021 Mar 20;11(11):5605-5619.
- Cancer Res. 2020 Oct 15;80(20):4426-4438.
- Cancer Res. 2016 Dec 1;76(23):7001-7011.

- EBioMedicine. 2022 Dec 31;87:104420.

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REFERENCES

[1]. Furumai R, et al. FK228 (depsipeptide) as a natural prodrug that inhibits class I histone deacetylases. Cancer Res. 2002 Sep 1;62(17):4916-21.

[2]. Sun WJ, et al. Romidepsin induces G2/M phase arrest via Erk/cdc25C/cdc2/cyclinB pathway and apoptosis induction through JNK/c-Jun/caspase3 pathway in hepatocellular carcinoma cells. Biochem Pharmacol. 2017 Mar 1;127:90-100.

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