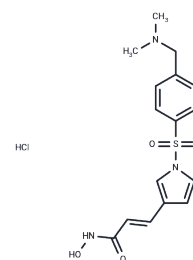


Resminostat hydrochloride

Chemical Properties

CAS No. :	1187075-34-8
Formula:	C ₁₆ H ₂₀ ClN ₃ O ₄ S
Molecular Weight:	385.86
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	Resminostat hydrochloride (RAS2410 hydrochloride) is an effective inhibitor of HDAC1/HDAC3/HDAC6 (IC ₅₀ : 42.5/50.1/71.8 nM), respectively, and shows less potent activities against HDAC8 (IC ₅₀ : 877 nM).
Targets(IC ₅₀)	HDAC
In vitro	Resminostat hydrochloride (5 μM) induces histone acetylation in myeloma cells. Resminostat hydrochloride has a substrate competitive binding mode (K _i : 27 nM). Resminostat hydrochloride (5 μM) induces histone hyperacetylation in myeloma cells. Resminostat inhibits cell growth, induces apoptosis and inhibits MM cell proliferation. Resminostat (5 μM) also modulates expression of bcl-2 family proteins and inhibits Akt pathway signalling downstream of Akt. Resminostat inhibits cell growth in head and neck squamous cell carcinoma cell lines (IC ₅₀ for SCC25: 0.775 μM; CAL27: 1.572 μM; and FaDu: 0.899 μM). Resminostat (1.25/2.5 μM) has a synergistic effect with irradiation on HNSCC cell lines. Resminostat in combination with cisplatin induces a downregulation of survivin. However, Resminostat shows no effect on Mcl-1 and p-AKT expression. Resminostat reduces viability of HCC cells with the co-therapy of AZD-2014, (IC ₅₀ s: 0.07-0.89 μM).
Kinase Assay	Forty microliter enzyme buffer (15 mM Tris HCl pH 8.1, 0.25 mM EDTA, 250 mM NaCl, 10% v:v glycerol) containing HDAC1, 3, 6 or 8 activity, 29 μL enzyme buffer and 1 μL resminostat [HCl] at different concentrations are added to a 96-well microtitre plate and the reaction started by the addition of 30 μL substrate peptide Ac-NH-GGK(Ac)-AMC (HDAC1, 3 and 6 assays, final concentrations 6 μM for HDAC1, 10 μM for HDAC6 and 25 μM for HDAC3/DAD) or Ac-RHK(Ac)K(Ac)-AMC (HDAC8 assay, final concentration 50 μM). After incubation for 180 min (HDAC1, HDAC6, HDAC8) or 120 min (HDAC3) at 30°C, the reaction is terminated by the addition of 25 μL stop solution (50 mM Tris HCl pH 8, 100 mM NaCl, 0.5 mg/mL trypsin and 2 μM trichostatin A [TSA]). After incubation at room temperature for further 40 min, fluorescence is measured using a Wallac Victor2 1420 multilabel counter (extinction 355 nm, emission 460 nm) for quantification of AMC generated by tryptic cleavage of the deacetylated peptide. For the calculation of the 50% inhibitory concentration (IC ₅₀) values the fluorescence in wells without test compound (1% DMSO, negative control) is set as 100% enzymatic activity and the fluorescence in wells with 2 μM TSA (positive control) are set at 0% enzymatic activity (background fluorescence subtracted).

A DRUG SCREENING EXPERT

Cell Research	Resminostat is dissolved in DMSO. A CCK-8 cell proliferation assay is used to investigate the antiproliferative effect of resminostat on HNSCC cells. Cells are seeded into 96-well plates at a density of 3×10^5 /well. After 24 hours of growth, the cells are treated with resminostat and cisplatin, either alone or in combination and incubated for 72 hours. Untreated cells maintained in RPMI and equal concentrations of dimethylsulfoxide served as control. After 72 hours, cell proliferation is measured by CCK-8.
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Solubility Information

Solubility	DMSO: 51.67 mg/mL (133.91 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.18 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5916 mL	12.9581 mL	25.9161 mL
5 mM	0.5183 mL	2.5916 mL	5.1832 mL
10 mM	0.2592 mL	1.2958 mL	2.5916 mL
50 mM	0.0518 mL	0.2592 mL	0.5183 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- Mandl-Weber S, et al. The novel inhibitor of histone deacetylase resminostat (RAS2410) inhibits proliferation and induces apoptosis in multiple myeloma (MM) cells. *Br J Haematol.* 2010 May;149(4):518-28.
- Enzenhofer E, et al. Effect of the histone deacetylase inhibitor resminostat on head and neck squamous cell carcinoma cell lines. *Head Neck.* 2017 May;39(5):900-907.
- Peng X, et al. mTOR inhibition sensitizes human hepatocellular carcinoma cells to resminostat. *Biochem Biophys Res Commun.* 2016 Sep 2;477(4):556-562.

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