Product Data Sheet

Product Name: Resminostat (RAS2410)
Cat. No.: GC15879

Chemical Properties

Cas. No.	864814-88-0		
Chemical Name	(E)-3-[1-[4-[(dimethylamino)methyl]phenyl]sulfonylpyrrol-3-yl]-N-hydroxyprop-2-enamide		
SMILES	CN(C)CC1=CC=C(C=C1)S(=O)(=O)N2C=CC(=C2)C=CC(=O)NO		
Formula	$C_{16}H_{19}N_3O_4S$	M.Wt	349.4
Solubility	Soluble in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Resminostat (RAS2410)		

Protocol

Cell experiment [1]:

Cell lines	OPM-2, NCI-H929, RPMI-8226 and U266 cell lines
Preparation method	The solubility of this compound in DMSO is > 10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37℃ for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20℃ for several months.
Reacting condition	5 μmol/L and 10 μmol/l; 4, 24, 48, 72 and 96 h

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

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Applications	In U266 cells, Resminostat (RAS2410) led to histone hyper-acetylation. In human MM cell lines OPM-2, NCI-H929, RPMI-8226 and U266 cell lines, Resminostat (10 μmol/l) induced apoptosis by 73%, 93%, 82% and 46%, respectively. Resminostat also strongly inhibited myeloma cell proliferation up to 92%.

Clinical Trial [2]:

Disease models	patients with advanced solid tumors
Dosage form	once-daily on days 1-5 every 14 days at 5 dose levels between 100 mg and 800 mg; administered orally
Application	Nineteen patients with advanced solid tumors were treated with Resminostat. At 800 mg, 1 patient experienced grade 3 nausea and vomiting, grade 2 liver enzyme elevation, and grade 1 hypokalemia and thrombocytopenia; which were combined dose-limiting toxicities (DLTs). Pharmacodynamic inhibition of HDAC enzyme was dose-dependent and reached 100% at doses ≥400 mg. Eleven heavily pre-treated patients had stable disease and 1 patient with metastatic thymoma had a 27% reduction in target lesion dimensions.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

[1]. Mandl-Weber S, Meinel FG, Jankowsky R, Oduncu F, Schmidmaier R, Baumann P. The novel inhibitor of histone deacetylase resminostat (RAS2410) inhibits proliferation and induces apoptosis in multiple myeloma (MM) cells. Br J Haematol. 2010; 149(4):518-528.

[2] Brunetto AT1, Ang JE, Lal R, et al. First-in-human, pharmacokinetic and pharmacodynamic phase I study of Resminostat, an oral histone deacetylase inhibitor, in patients with advanced solid tumors. Clin Cancer Res. 2013 Oct 1;19(19):5494-504.

Background

Resminostat, also known as RAS2410, is a potent inhibitor of histone deacetylase (HDAC) classes I and II (including HDAC1, HDAC3 and HDAC6) with 50% inhibition concentration IC_{50} values ranging from 43 to 72 nmol/L. Resminostate has the potential to be used for the treatment of multiple myeloma (MM) due to its ability to induce histone H4 hyperacetylation, and apoptosis (IC_{50} ranging from 2.5 to 3 μmol/L) in MM cells. Recent study results have shown that, in MM cell lines, resminostat abrogates cell growth, suppresses proliferation and induce G0/G1 cell cycle arrest as well as interfering with Akt signaling pathway by decreasing phosphorylation of 4E-BP1 and p70S6k.

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Reference

[1].Mandl-Weber S, Meinel FG, Jankowsky R, Oduncu F, Schmidmaier R, Baumann P. The novel inhibitor of histone deacetylase resminostat (RAS2410) inhibits proliferation and induces apoptosis in multiple myeloma (MM) cells. Br J Haematol. 2010; 149(4):518-528.

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