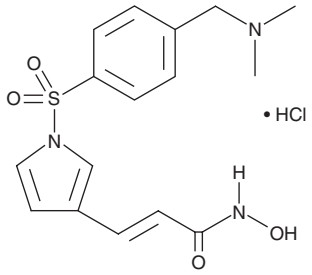
PRODUCT INFORMATION

Resminostat (hydrochloride)

Item No. 17553

CAS Registry No.:	1187075-34-8
Formal Name:	3-[1-[[4-[(dimethylamino)methyl] phenyl]sulfonyl]-1H-pyrrol-3-yl]-N-hydroxy-2-propenamide, monohydrochloride
Synonyms:	4SC-201, RAS2410
MF:	$C_{16}H_{19}N_3O_4S \bullet HCl$
FW:	385.9
Purity:	≥95%
UV/Vis.:	λ_{max}: 220, 270 nm
Supplied as:	A crystalline 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

Resminostat (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the resminostat (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Resminostat (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of resminostat (hydrochloride) in these solvents is approximately 10 and 0.5 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of resminostat (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of resminostat (hydrochloride) in PBS (pH 7.2) is approximately 0.5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Resminostat is an orally bioavailable inhibitor of histone deacetylase 1 (HDAC1), HDAC3, and HDAC6 (IC_{50}s = 43-72 nM), resulting in hyperacetylation of histone H4 in multiple myeloma cells.[1] It abrogates cell growth and strongly induces apoptosis in multiple myeloma cells (IC_{50}s = 2.5-3 µM).[1] Resminostat displays synergistic effects when combined with melphalan and the proteasome inhibitors bortezomib and S-2209.[1] It dose-dependently inhibits HDAC activity *in vivo*.[2]

References

1. Mandl-Weber, S., Meinel, F.G., Jankowsky, R., *et al.* The novel inhibitor of histone deacetylase resminostat (RAS2410) inhibits proliferation and induces apoptosis in multiple myeloma (MM) cells. *Br. J. Haematol.* **149**, 518-528 (2010).
2. Brunetto, A.T., Ang, J.E., 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.* **19(19)**, 5494-504 (2013).

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