
Product Data Sheet

Product Name: KD 5170
Cat. No.: GC13435

Chemical Properties

Cas. No. 940943-37-3

Chemical Name S-(2-(6-(4-(3-(dimethylamino)propoxy)phenylsulfonamido)pyridin-3-yl)-2-oxoethyl) ethanethioate

SMILES CN(C)CCCOC1=CC=C(S(NC2=CC=C(C(CSC(C)=O)=O)C=N2)(=O)=O)C=C1

Formula $C_{20}H_{25}N_3O_5S_2$ M.Wt 451.56

Solubility $\geq 21.2\text{mg/mL}$ in DMSO with gentle warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

Background

KD 5170 is a novel inhibitor of histone deacetylase with IC_{50} value of $0.045\ \mu\text{M}$ [1].

Histone deacetylases (HADC) are a series of enzymes that remove acetyl groups from an ϵ -N-acetyl lysine amino acid on a histone and make the histones to wrap the DNA more tightly, which prevent transcription.

KD 5170 is an inhibitor of histone deacetylase and inhibited recombinant HDAC enzymes with IC_{50} values of 0.020, 2.0, 0.075, 0.026, 0.014 μM for HADC1, HADC2, HADC3, HADC4 and HADC6, respectively. In HeLa cell, KD5170 resulted in histone hyperacetylation with an EC_{50} of 25 nM in a concentration-dependent way. In HCT-116 cells, KD 5170 induced p21WAF1 expression and histone H3 and α -tubulin acetylation [1][2]. In both HL-60 leukemia cells and HCT-116 colorectal cancer cells, KD5170 resulted in cell death in a concentration-dependent way [1].

In mice bearing HCT-116 xenograft tumors, KD 5170 significantly inhibited tumor growth

Caution: Product has not been fully validated for medical applications. For research use only.

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[1]. In mice bearing H929 xenograft tumors, KD 5170 decreased tumor volume and significantly prolonged the mean time to endpoint. Also, the increase of histone acetylation was found in spleen and tumor tissues of the mice treated with KD 5170 [3].

References:

- [1]. Hassig CA, Symons KT, Guo X, et al. KD5170, a novel mercaptoketone-based histone deacetylase inhibitor that exhibits broad spectrum antitumor activity in vitro and in vivo. *Mol Cancer Ther*, 2008, 7(5): 1054-1065.
- [2]. Payne JE, Bonnefous C, Hassig CA, et al. Identification of KD5170: a novel mercaptoketone-based histone deacetylase inhibitor. *Bioorg Med Chem Lett*, 2008, 18(23): 6093-6096.
- [3]. Feng R, Ma H, Hassig CA, et al. KD5170, a novel mercaptoketone-based histone deacetylase inhibitor, exerts antimyeloma effects by DNA damage and mitochondrial signaling. *Mol Cancer Ther*, 2008, 7(6): 1494-1505.

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