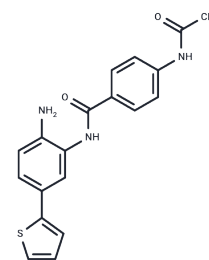


BRD-6929

Chemical Properties

CAS No. : 849234-64-6
 Formula: C₁₉H₁₇N₃O₂S
 Molecular Weight: 351.42
 Storage: Store at low temperature
 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	BRD-6929 is a selective, brain-penetrant HDAC1 and HDAC2 inhibitor (IC ₅₀ : 1 and 8 nM). BRD-6929 (Cpd-60) shows high-affinity to HDAC1 and HDAC2 (K _i : 0.2 and 1.5 nM) [2]. BRD-6929 potentiates the efficacy of gnidimacrin (a PKC Agonist) against latent HIV-1. BRD-6929 can be used for mood-related behavioral model research[3].
Targets(IC ₅₀)	HIV Protease,HDAC
In vitro	In vitro IC ₅₀ for HDAC1-9 by BRD-6929 using recombinant human HDAC enzymes and HDAC class-specific substrates. BRD-6929 and substrate are incubated for 180 min (HDAC1-3) to control for HDAC1-3 inhibition, BRD-6929 is against HDAC1, HDAC2, HDAC3 and HDAC4-9 with IC ₅₀ s of 0.001 μM, 0.008 μM, 0.458 μM and >30 μM, respectively[1]. In vitro binding affinity (K _i) and kinetics (half-life 'T _{1/2} ' in minutes) for HDAC 1, 2 and 3 incubated with BRD-6929 (10 μM), the K _i values are 0.2 nM, 1.5nM, and 270 nM for HDAC 1, 2 and 3, respectively. The T _{1/2} values are >2400 mins, >4800 mins, and 1200 mins for HDAC 1, 2 and 3, respectively[1]. BRD-6929 (1 and 10 uM) does not cause an increase or decrease in overall cell number in brain region specific primary cultures. Additionally, BRD-6929 (10 uM) causes an increase in H4K12 acetylation in brain region specific primary cultures (striatum)[1]. BRD-6929 (1-10 uM; 6 hours) causes a significant increase in H2B acetylation in primary neuronal cell cultures. BRD-6929 (1-20 uM; 24 hours) induces a dose-dependent acetylation of H4K12ac with an EC ₅₀ of 7.2 μM in cultured neurons[1]. BRD-6929 potentiates the efficacy of gnidimacrin (a PKC Agonist) against latent HIV-1[3].
In vivo	BRD-6929, administered via intraperitoneal injection at a 45 mg/kg dosage, achieves peak plasma concentrations (C _{max}) of 17.7 μM, has a half-life (T _{1/2}) of 7.2 hours, and an area under the curve (AUC) of 25.6 μM/L*hr. In the brain, its C _{max} , T _{1/2} , and AUC are 0.83 μM, 6.4 hours, and 3.9 μM/L*hr, respectively. When given daily for 10 days at the same dose, BRD-6929 functions as a deacetylase inhibitor in mouse brain, elevating acetylation levels in various brain regions by 1.5- to 2.0-fold over the control. Specifically, it notably increases the acetylation of histone H2B (tetra-acetylated), H3K9, and H4K12 in the cortex, ventral striatum, and hippocampus of adult male C57BL/6j mice, as evidenced by western blotting after the 10th treatment[1].

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 4.9 mg/mL (13.94 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.8456 mL	14.228 mL	28.456 mL
5 mM	0.5691 mL	2.8456 mL	5.6912 mL
10 mM	0.2846 mL	1.4228 mL	2.8456 mL
50 mM	0.0569 mL	0.2846 mL	0.5691 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

Li-Huei Tsai, et al. Inhibition of hdac2 to promote memory. patent/US20120101147

Schroeder FA, et al. A selective HDAC 1/2 inhibitor modulates chromatin and gene expression in brain and alters mouse behavior in two mood-related tests. PLoS One. 2013 Aug 14;8(8):e71323.

Huang L, et al. Elimination of HIV-1 Latently Infected Cells by Gnidimacrin and a Selective HDAC Inhibitor. ACS Med Chem Lett. 2018 Feb 6;9(3):268-273.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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