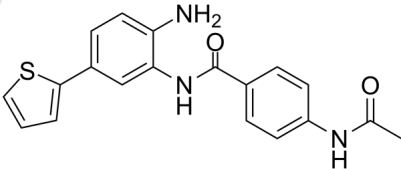


Data Sheet

Product Information

Catalog Number	BP13735
Product Name	BRD-6929
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). 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.
Targets&IC ₅₀	HDAC1:1 nM, HDAC2:8 nM
In vitro	<p>In vitro IC₅₀ 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 IC₅₀s of 0.001 μM, 0.008 μM, 0.458 μM and >30 μM, respectively. 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 2400 mins, >4800 mins, and 1200 mins for HDAC 1, 2 and 3, respectively. 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). 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 EC₅₀ of 7.2 μM in cultured neurons. BRD-6929 potentiates the efficacy of gnidimacrin (a PKC Agonist) against latent HIV-1.</p>

In vivo	BRD-6929 (intraperitoneal injection; 45 mg/kg; single dose) exhibits a C _{max} , T _{1/2} and AUC values of 17.7 μM, 7.2 hours, and 25.6 μM/L*hr, respectively in plasma. It shows a C _{max} , T _{1/2} and AUC values of 0.83 μM, 6.4 hours, and 3.9 μM/L*hr, respectively in brain. BRD-6929 (intraperitoneal injection; 45 mg/kg; 10 days) acts as a deacetylase inhibitor in mouse brain. It significantly increases acetylation in each brain region by 1.5- to 2.0-fold compared to vehicle. The western blotting reveals that BRD-6929 significantly increases acetylation of histone H2B (tetra-acetylated), H3K9 and H4K12 in cortex, ventral striatum and hippocampus after the 10th daily treatment in adult male C57BL/6J mice.
CAS No.	849234-64-6
Chemical Formula	C ₁₉ H ₁₇ N ₃ O ₂ S
Molecular Weight	351.42
Solubility	DMSO: 4.9 mg/mL (14 mM), Need ultrasonic
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

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