

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 Purinostat

 Cat. No.
 :
 PC-20479

 CAS No.
 :
 1929583-17-4

 Molecular Formula
 :
 C₂₃H₂₆N₁₀O₃

 Molecular Weight
 :
 490.53

Solubility : 10 mM in DMSO

: HDAC

Biological Activity

Target

Purinostat is a potent, highly selective **class I and IIb HDAC inhibitor** with IC50 of 0.81, 1.4, 1.7, and 3.8 nM for class I HDAC1, 2, 3, and 8, and 11.5, 1.1 nM for class IIb HDAC 6 and 10, respectively.

Purinostat mesylate displays much weaker activity against HDAC IIa and IV (HDAC4,5,7,9 11) with IC50 of 426-3,349 nM, also has no significant inhibitory activity on 89 kinase enzymes involved in tumor regulation.

Purinostat mesylate showed approximately 100-fold higher than Chidamide (Tucidinostat, Cat. PC-35344) inhibition on HDAC1, 2, 8, and 10 subtypes.

Purinostat mesylate showed better inhibitory effects than LBH589 (Panobinostat, Cat. PC-42468) against various hematologic tumor cell lines with IC50 values at nanomolar or subnanomolar.

Purinostat mesylate effectively increased the levels of Ac-H3, Ac-H4, and decreased HSP90 in a concentration-dependent manner in vitro of Ph+ leukemia cell lines, consistent with the BCR-ABL downregulation, p-SRC and STAT5 were also significantly suppressed.

Purinostat mesylate (5 mg/kg) exerted the robust antitumor activity on BL-2 secondary transplantation mouse model of Ph+B-ALL.

Purinostat mesylate showed potent antileukemia effects in BCR-ABL(T315I)-induced primary B-ALL mice.

References

Yang L, et al. *Clin Cancer Res*. 2019 Dec 15;25(24):7527-7539.

Chen Y, et al. *J Med Chem* 2016;59:5488–504.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

E-mail: tech@probechem.com