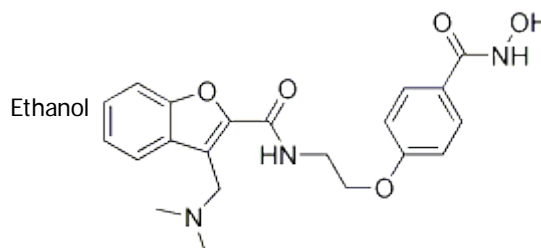




PCI-24781

Kinase Inhibitor

E1KS1090

Kinase Inhibitor Name: PCI-24781**Catalog Number:** E1KS1090**Quantity:** 5 mg**M.W.:** 397.42**Formula:** C₂₁H₂₃N₃O₅**Solubility:** DMSO ≥80mg/mL Water <1mg/mL**Purity:** >99%**Storage:** at -20°C 2 years**CAS No.:** 783355-60-2

Biological Activity

PCI-24781 (CRA-024781) is a novel broad spectrum hydroxamic acid-based inhibitor of histone deacetylase (HDAC) that shows antitumor activity in vitro and in vivo preclinically and is under evaluation in phase I clinical trials for cancer. ^[1,2,3,4]

PCI-24781 inhibited pure recombinant HDAC1 with a *K_i* of 0.007 Mmol/L, and also inhibited the other HDAC isozymes HDAC2, HDAC3/SMRT, HDAC6, HDAC8, and HDAC10 in the nanomolar range. [1] Antitumor activity of PCI-24781 was observed in all 10 tumor cell lines tested, with GI50% values ranging from 0.15 to 3.09 μmol/L ^[1].

CRA-024781 parenterally administered to mice harboring HCT116 or DLD-1 colon tumor xenografts resulted in a statistically significant reduction in tumor growth at doses that were well tolerated as measured by body weight ^[1].

References

CRA-024781: a novel synthetic inhibitor of histone deacetylase enzymes with antitumor activity in vitro and in vivo Z. Alexander Cao, Kathryn E. Bass, et al. *Mol Cancer Ther* 2006;5:1309-1317

The Histone Deacetylase Inhibitor PCI-24781 Induces Caspase and ROS-Dependent Apoptosis Through NF- B and is Synergistic with Bortezomib in Lymphoma Cells Savita Bhalla, Sriram Balasubramanian, et al. *Clin Cancer Res* 2009 May 15;15(10): 3354–3365

Combining PCI-24781, a Novel Histone Deacetylase Inhibitor, with Chemotherapy for the Treatment of Soft Tissue Sarcoma Juehui Liu, Wenhong Ren, et al. *Clin Cancer Res* 2009;15:3472-3483

Radiosensitization by the Histone Deacetylase Inhibitor PCI-24781 Judit P. Banáth, Susan H. MacPhail, et al. *Clin Cancer Res* 2007;13:6816-6826

The pharmacological and toxicological properties of this product have not been fully investigated. Exercise caution in use and handling. This product must not be used in humans.

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