Product Datasheet

Nanatinostat

Catalog No. **BP-02165** · CAS **1256448-47-1** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-04**

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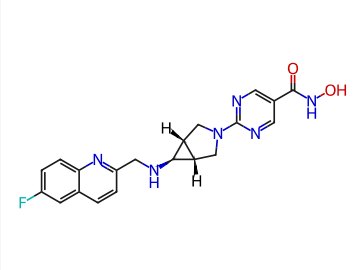
Target	Research area
HDAC; Apoptosis	**Cancer**

Molecular formula	$C_{20}H_{19}FN_6O_2$
Molecular weight	**394.4023**

STRUCTURE



DESCRIPTION

Nanatinostat (CHR-3996) is a potent, class I selective and orally active HDAC inhibitor with IC50s of 3 nM, 4 nM, and 7 nM for HDAC1, HDAC2, and HDAC3, respectively. Nanatinostat has low activity against HDAC5 (IC50 of 200 nM) and HDAC6 (IC50 of 2100 nM). Nanatinostat induces apoptosis in myeloma cells. Nanatinostat has potent anticancer effects, such as myeloma, advanced solid tumours and colorectal cancer.

BIOLOGICAL ACTIVITY

In Vitro

Nanatinostat (CHR-3996) (0.0001-1 μM; 48 hours) inhibits the proliferation of myeloma cell lines, with LC50 values ranging from 30.3-97.6 nM in different cell lines.

Nanatinostat (250-100 nM; 8-48 hours) induces apoptosis and increases the level of acetylated H3K9 in H929 and RPMI-8226 myeloma cell lines.

Nanatinostat (0-200 nM; 24 hours) reduces the levels of IL-6 and VEGF secreted by bone marrow stromal cells in the co-culture system of bone marrow stromal cells and myeloma cells.

Nanatinostat (250 nM and 100 nM; 48 hours) arrests the cell cycle at the G0/G1 phase in H929 and RPMI-8226 myeloma cell lines.

In Vivo

Nanatinostat (50 mg/kg; orally administered; once daily; for 14 days) can almost completely inhibit tumor growth in the female CrTac:NCr-Fox1ν mouse HCT116 tumor xenograft model.

Nanatinostat (25-50 mg/kg; orally administered; once daily; for 19 days) can significantly reduce tumor volume in a dose-dependent manner in the female BALB/c nude mouse LoVo human colon xenograft model.

Nanatinostat (30 mg/kg; orally administered; once daily; for 28 days) can effectively inhibit tumor growth in the NOD/SCID IL2R gammanull mouse myeloma model.

SOLUBILITY

Soluble in DMSO : 50 mg/mL

REFERENCES

[1]. Moffat D, et al. Discovery of 2-(6-{[(6-fluoroquinolin-2-yl)methyl]amino}bicyclo[3.1.0]hex-3-yl)-N-hydroxypyrimidine-5-carboxamide (CHR-3996), a class I selective orally active histone deacetylase inhibitor. J Med Chem. 2010 Dec 23;53(24):8663-78.

[2]. Smith EM, et al. The combination of HDAC and aminopeptidase inhibitors is highly synergistic in myeloma and leads to disruption of the NFκB signalling pathway. Oncotarget. 2015 Jul 10;6(19):17314-27.

[3]. Banerji U, et al. A phase I pharmacokinetic and pharmacodynamic study of CHR-3996, an oral class I selective histone deacetylase inhibitor in refractory solid tumors. Clin Cancer Res. 2012 May 1;18(9):2687-94.