Web: www.taiclone.com
Tel: +886-2-2735-9682
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Abexinostat

Catalog No: tcsc0478

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
783355-60-2

Formula:
$C_{21}H_{23}N_3O_5$

Pathway:
Epigenetics;Cell Cycle/DNA Damage

Target:
HDAC;HDAC

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
CRA 024781;PCI-24781

Observed Molecular Weight:
397.42

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Product Description

Abexinostat (CRA 024781) is a novel pan-**HDAC** inhibitor mostly targeting HDAC1 with $\mathbf{K_i}$ of 7 nM.

IC50 & Target: Ki: 7 nM (HDAC1); 8.2 nM (HDAC3)[1]

In Vitro: Abexinostat (CRA 024781) exhibits potent antitumor activity against a variety of tumor cell lines with $GI_{50\%}$ ranging from 0.15 μM to 3.09 μM. Abexinostat (CRA 024781) also has an antiproliferative effect on HUVEC endothelial cells with $GI_{50\%}$ of 0.43 μM. Abexinostat (CRA 024781) treatment causes dose-dependent accumulation of both acetylated histones and acetylated tubulin in HCT116 or DLD-1 cells, induces expression of p21, and leads to PARP cleavage and accumulation of the γH2AX[1]. Inhibition of HDAC enzymes by Abexinostat (CRA 024781) leads to a significant reduction in the transcription of genes specifically associated with HR, including RAD51. Consistent with inhibition of HR, Abexinostat (CRA 024781) treatment results in a decreased ability to perform homology directed repair of I-SceI-induced chromosome breaks in transfected CHO cells[2]. Abexinostat (CRA 024781) induces S phase depletion, G2 cell cycle arrest, and apoptosis in soft tissue sarcoma (STS) cells. Abexinostat (CRA 024781) induces Rad51 transcriptional repression in STS cells potentially mediated via enhanced E2F1 binding to the Rad51 proximal promoter[3].

In Vivo: Abexinostat (CRA 024781) parenterally administered to mice harboring HCT116 or DLD-1 colon tumor xenografts results in a statistically significant reduction in tumor growth. Inhibition of tumor growth is accompanied by an increase in the acetylation of α-tubulin in peripheral blood mononuclear cells, and an alteration in the expression of many genes in the tumors, including several involved in apoptosis and cell growth[1].