Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Birabresib

Catalog No: tcsc1598

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
202590-98-5

Formula:
$C_{25}H_{22}ClN_5O_2S$

Pathway:
Epigenetics

Target:
Epigenetic Reader Domain

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 49 mg/mL (99.60 mM)

Alternative Names:
OTX-015;MK-8628

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Observed Molecular Weight:
491.99

Product Description

Birabresib (OTX-015) is a potent **bromodomain (BRD2/3/4)** inhibitor with **IC_{50}**s ranging from 92 to 112 nM.

IC50 & Target: IC50: 92-112 nM (BRD2, BRD3, BRD4)[1]

In Vitro: Birabresib (OTX-015) (500 nM) exposure induces a strong decrease of BRD2, BRD4 and c-MYC and increase of *HEXIM1* proteins, while BRD3 expression is unchanged. c-MYC, BRD2, BRD3, BRD4 and *HEXIM1* mRNA levels do correlate however with viability following exposure to Birabresib (OTX-015). Sequential combinations of Birabresib (OTX-015) with other epigenetic modifying drugs, panobinostat and azacitidine have a synergic effect on growth of the KASUMI cell line[2]. Birabresib (OTX-015) (0.1, 1, 5 μM) treatment induces HIV-1 full-length transcripts and viral outgrowth in resting $CD4^+$ T cells from infected individuals receiving suppressive antiretroviral therapy (ART), while exerting minimal toxicity and effects on T cell activation. Birabresib-mediated activation of HIV-1 involves an increase in CDK9 occupancy and RNAP II C-terminal domain (CTD) phosphorylation[3].

In Vivo: In MDA-MB-231 murine xenografts, tumor mass is significantly (p [4].