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

Molibresib

Catalog No: tcsc0717

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Specifications

CAS No:
1260907-17-2

Formula:
$C_{22}H_{22}ClN_5O_2$

Pathway:
Epigenetics

Target:
Epigenetic Reader Domain

Purity / Grade:
>98%

Solubility:
H2O :

Alternative Names:
GSK 525762A;I-BET 762

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Observed Molecular Weight:
423.9

Product Description

Molibresib (GSK 525762A; I-BET 762) is a **BET bromodomain** inhibitor with **IC_{50}** of 32.5-42.5 nM.

IC50 & Target: IC50: 32.5-42.5 nM (BET)[1]

In Vitro: Molibresib (I-BET 762) shows the highest affinity interaction with BET. Molibresib binds to the tandem bromodomains of BET with high affinity (dissociation constant K_d of 50.5-61.3 nM). Molibresib displaces, with high efficacy (half-maximum inhibitory concentration IC_{50} of 32.5-42.5 nM), a tetra-acetylated H4 peptide that had been pre-bound to tandem bromodomains of BET[1]. Molibresib has high affinity for BD1/BD2 domain of BRD2/3/4 proteins. Molibresib treatment leads to a reduction in the recruitment of all three proteins to chromatin[2]. Molibresib inhibits OPM-2 cell proliferation with IC_{50} of 60.15 nM[3].

In Vivo: The antimyeloma activity of Molibresib (I-BET 762) is tested dosed orally in an in vivo systemic xenograft model generated by injecting OPM-2 cells into NOD-SCID mice. Daily oral doses of Molibresib up to 10 mg/kg and 30 mg/kg given every other day are well tolerated with no clear impact on body weight compared with vehicle control. The plasma hLC concentration is significantly reduced in mice treated with Molibresib[3].