

# 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:**

H<sub>2</sub>O :

**Alternative Names:**

GSK 525762A;I-BET 762

**Observed Molecular Weight:**

423.9

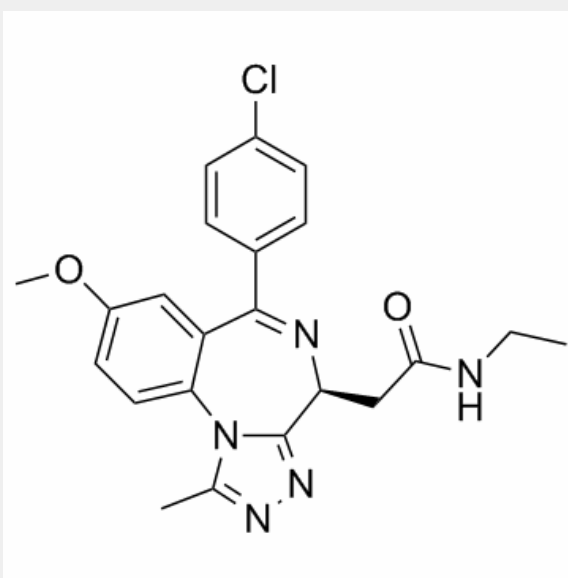
**Product Description**

Molibresib (GSK 525762A; I-BET 762) is a **BET bromodomain** inhibitor with **IC<sub>50</sub>** of 32.5-42.5 nM.

IC50 & Target: IC50: 32.5-42.5 nM (BET)<sup>[1]</sup>

**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<sub>50</sub> of 32.5-42.5 nM), a tetra-acetylated H4 peptide that had been pre-bound to tandem bromodomains of BET<sup>[1]</sup>. 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<sup>[2]</sup>. Molibresib inhibits OPM-2 cell proliferation with IC<sub>50</sub> of 60.15 nM<sup>[3]</sup>.

**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<sup>[3]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!