

Data Sheet

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Product Name : I-BET762

Cat. No. : PC-43340

CAS No. : 1260907-17-2

Molecular Formula : C₂₂H₂₂ClN₅O₂

Molecular Weight : 423.8954

Target : Bromodomain

Solubility : 10 mM in DMSO

Biological Activity

I-BET762 (GSK525762A, Molibresib) is a highly potent, selective inhibitor of **BET family proteins** BRD2, BRD3 and BRD4 with IC50 of 32.5-42.5 nM, does not interact with other bromodomain-containing proteins (BAZ2B, ATAD2, CREBBP and PCAE)

I-BET762 inhibits binding of BET proteins to acetylated histones, disrupts chromatin complexes responsible for the expression of key inflammatory genes in activated macrophages, suppresses TNF-inducible key proinflammatory cytokine (il1b, il1a) and chemokine genes (ccl5, cxcl10, cxcl2/3) in BMDMs.

I-BET762 inhibits the ability of Th1-differentiated 2D2 T cells to induce neuroinflammation in vivo in mouse model of EAE. I-BET762 inhibits myeloma cell proliferation both in vitro and myeloma xenograft models.

References

Nicodeme E, et al. *Nature*. 2010 Dec 23;468(7327):1119-23.

Bandukwala HS, et al. *Proc Natl Acad Sci U S A*. 2012 Sep 4;109(36):14532-7.

Mirguet O, et al. *J Med Chem.* 2013 Oct 10;56(19):7501-15.

Chaidos A, et al. *Blood*. 2014 Jan 30;123(5):697-705.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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