

**Product Name** : I-BET762

**Synonyms** : GSK525762A; GSK-525762A; I-BET-762; Molibresib

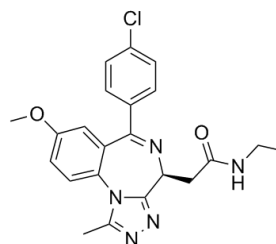
**Cat No.** : M11080

**CAS Number** : 1260907-17-2

**Molecular Formula** : C<sub>22</sub>H<sub>22</sub>ClN<sub>5</sub>O<sub>2</sub>

**Formula Weight** : 423.90

**Chemical Name** : 4H-[1,2,4]Triazol[4,3-a][1,4]benzodiazepine-4-acetamide, 6-(4-chlorophenyl)-N-ethyl-8-methoxy-1-methyl-, (4S)-



**Description** : I-BET762 (GSK-525762A, Molibresib) is a highly potent, selective inhibitor of BET family proteins BRD2, BRD3 and BRD4 with IC<sub>50</sub> of 32.5-42.5 nM, does not interact with other bromodomain-containing proteins (BAZ2B, ATAD2, CREBBP and PCAF); 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; inhibits the ability of Th1-differentiated 2D2 T cells to induce neuroinflammation in vivo in mouse model of EAE; inhibits myeloma cell proliferation both in vitro and myeloma xenograft models. Blood Cancer Phase 2 Clinical

**Pathway** : Chromatin/Epigenetic

**Target** : Bromodomain

**Receptor** : BETproteins

**Solubility** : 10 mM in DMSO

**SMILES** : O=C(NCC)C[C@H]1C2=NN=C(C)N2C3=CC=C(OC)C=C3C(C4=CC=C(Cl)C=C4)=N1

**Storage** : (-20°C)

**Stability** : ≥ 2 years

**Reference** :

1. Nicodemø E, et al. Nature. 2010 Dec 23;468(7327):1119-23. | 2. Bandukwala HS, et al. Proc Natl Acad Sci U S A. 2012 Sep 4;109(36):14532-7. | 3. Mirguet O, et al. J Med Chem. 2013 Oct 10;56(19):7501-15. | 4. Chaidos A, et al. Blood. 2014 Jan 30;123(5):697-705.

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