

DATA SHEET

Product Name: I-BET762

Catalog #: CV-1036

Alt: CAS # 1260907-17-2; GSK525762; (4S)- 6-(4-Chlorophenyl)-N-ethyl-8-methoxy-1-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine-4acetamide

Molecular Mass: 423.9

Analysis: >98% (TLC); NMR (conforms)

Supplied As: Tan powder

Resuspension: May be dissolved in DMSO (25 mg/ml); or Ethanol (25 mg/ml)

Storage: Store desiccated as supplied at -20°C for up to 2 years. Store solutions at -20°C for up to 3 months.

Description: I-BET762 is a potent inhibitor of the BET family of bromodomains with no activity at bromodomains BAZ2B, SP140, ATAD2, CREBBO, and PCAF^{1,2}. IC₅₀'s for H4Ac peptide displacement: BRD2=32.5 nM, BRD3=42.4nM, BRD4=36.1nM¹. It was able to suppress key inflammatory genes as well as the release of proinflammatory cytokines and prevent acute inflammation in mice¹. Suppresses the inflammatory function of T cells³. Inhibition of BET bromodomains results in downregulation of Myc transcription, an important oncogene⁴.

References:

1. Nicodeme, E., et al., (2010) Nature, 468 : 1119
2. Mirguet, O., et al., (2013) J. Med. Chem., 56 : 7501
3. Bandukwala, H.S., et al., (2012) Proc. Natl. Acad. Sci. USA, 109 : 14532
4. Delmore, J.E., et al., (2011) Cell, 146 : 904

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