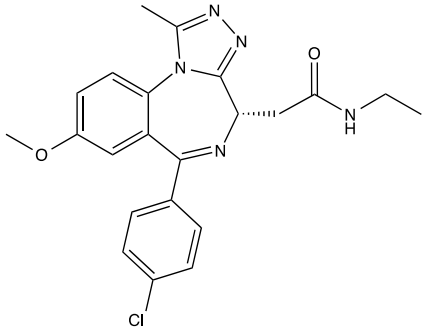
Catalog # 10-4122

I-BET762

CAS# 1260907-17-2

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

Lot # FBS2087



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] IC_{50}'s for H4Ac peptide displacement: BRD2 = 32.5nM, BRD3 = 42.4nM, BRD4 = 36.1nM.[1] It was able to suppress proinflammatory proteins by macrophage, block acute inflammation in mice[1], and suppressed the inflammatory function of T cells[3]. Inhibition of BET bromodomains results in downregulation of Myc transcription, an important oncogene.[4]

1) Nicodeme *et al.* (2010), *Suppression of inflammation by a synthetic histone mimic;* Nature **468** 1119

2) Mirguet *et al*. (2013), *Discovery of Epigenetic Regulator I-BET762: Lead Optimization to Afford a Clinical Candidate Inhibitor of the BET Bromodomains*; J.Med.Chem., **56** 7501

3) Bandukwala *et al*. (2012), *Selective inhibition of CD4+ T-cell cytokine production and autoimmunity by BET protein and c-Myc inhibitors*; Proc.Natl.Acad.Sci.USA, **109** 14532

4) Delmore *et al*. (2011), *BET Bromodomain as a Therapeutic Strategy to Target c-Myc*; Cell **146** 904

PHYSICAL DATA

Molecular Weight:	423.90
Molecular Formula:	$C_{22}H_{22}ClN_5O_2$
Purity:	>98% by HPLC
	NMR: (Conforms)
Solubility:	DMSO (>25 mg/ml); Ethanol (>25mg/mL)
Physical Description:	Tan solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO or ethanol may be stored at -20°C for up to 3 months.

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