NEUROMICS

I-BET762 Data Sheet

Catalog Number:	MC11120	**Product Type:**	Small Molecule
Bio-Activity:	BET Bromodomain inhibitor; Suppresses Myc transcription	**CAS #:**	1260907-17-2
Research Categories:	Epigenetics, immunology, cancer, inflammation	**Chemical Name:**	(4S)- 6-(4-Chlorophenyl)-N-ethyl-8-methoxy-1-methyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine-4-acetamide
Solubility:	Soluble in DMSO (up to at least 25 mg/ml) or in Ethanol (up to at least 25 mg/ml)	**Molecular Formula:**	C22H22ClN5O2
Purity:	> 98%	**Molecular Weight:**	423.90
Format:	Powder	**Ship Temp:**	Ambient
Storage:	-20°C		

Application Notes

Description/Data:
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 = 32.5nM, BRD3 = 42.4nM, BRD4 = 36.1nM.1 It was able to suppress proinflammatory proteins by macrophage, block acute inflammation in mice1, and suppressed the inflammatory function of T cells [3]. Inhibition of BET bromodomains results in downregulation of Myc transcription, an important oncogene [4].

References:

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

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