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InSolution™ I-BET - CAS 1260907-17-2 - Calbiochem A 50 mM sterile-filtered solution of I-BET in DMSO.

Art. ID	SAF-5060710001
Unit	ea
Deliverydetails	No Dangerous Good /not restricted

Description

A cell-permeable benzodiazepine compound that binds the tandem bromodomains of BET (bromodomain and extra terminal domain) family members BRD2 (1-473), BRD3 (1-434), and BRD4 (1-477) with high affinity (Kd = 61.3, 50.5, and 55.2 nM, respectively, by ITC) and effectively competes against tetra-acetylated H4 peptide (Millipore cat. no. 12-379) for BRD2/3/4 binding (IC50 = 32.5, 42,4, and 36.1 nM, respectively, in competitive equilibrium binding assays), while exhibiting little affinity toward 5 other bromodomain-containing proteins (ATAD2, BAZ2B, CREBBP, PCAF, SP140) or a panel of 38 cellular enzymes, GPCRs, transporters, and ion channels. Shown to differentially modulate LPS-induced gene expression, notably suppressing LPS-induced upregulation of genes involved in inflammatory response, in murine BMDMs (bone marrow-derived macrophages) in vitro (30 min 1 &#181,M pretreatment) and effectively prevent LPS-, heat-killed Salmonella typhimurium-, and CLP- (caecal ligation and puncture) induced death in mice in vivo (30 mg/kg i.v.). Cellular Brd2/3/4 knockdown using siRNA results in mostly the same effect as I-BET treatment in modulating LPS gene induction profile in murine BMDMs, but not without exceptions, indicating that not all BET-dependent transcription regulations are mediated via its binding to acetylated histones.

Text/Information	Analyte/Parameter	CAS number	Concentration/Value	Unit	Method	Source
	I-BET762	[1260907-17-2]				