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

### FOR RESEARCH USE ONLY

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