

PRODUCT INFORMATION



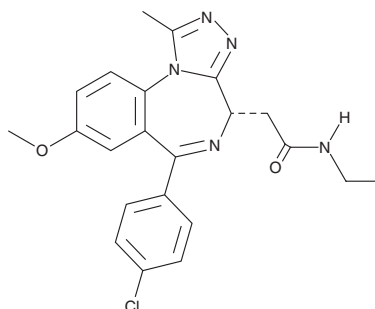
I-BET762

Item No. 10676

CAS Registry No.: 1260907-17-2
Formal Name: (S)-2-(6-(4-chlorophenyl)-8-methoxy-4H-benzo[f][1,2,4]triazolo[4,3-a][1,4]diazepin-4-yl)-N-ethylacetamide

Synonym: GSK525762A
MF: C₂₂H₂₂ClN₅O₂
FW: 423.9
Purity: ≥98%
Supplied as: A crystalline solid
Storage: -20°C

Stability: As supplied, 1 year from the QC date provided on the Certificate of Analysis, when stored properly



Laboratory Procedures

I-BET762 is supplied as a crystalline solid. A stock solution may be made by dissolving the I-BET762 in the solvent of choice. I-BET762 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF), which should be purged with an inert gas. The solubility of I-BET762 in ethanol and DMF is approximately 30 mg/ml, and approximately 20 mg/ml in DMSO.

I-BET762 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, I-BET762 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. I-BET762 has a solubility of approximately 0.5 mg/ml in a (1:1) solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The bromodomain and extra terminal domain (BET) family of proteins, including BRD2, BRD3, and BRD4, affect inflammatory gene expression by controlling the assembly of histone acetylation-dependent chromatin complexes.^{1,2} I-BET762 is a synthetic compound which interacts with BET proteins with high-affinity ($K_d = 32.5-42.5$ nM).^{3,4} It blocks binding of BET proteins with acetylated histones, disrupting the formation of chromatin complexes involved in the expression of specific inflammatory genes in activated macrophages.³ Through these actions, I-BET762 provides protection against bacteria-induced sepsis and lipopolysaccharide-triggered endotoxic shock.³

References

1. LeRoy, G., Rickards, B., and Flint, S.J. The double bromodomain proteins BRD2 and BRD3 couple histone acetylation to transcription. *Mol. Cell* **30**(1), 51-60 (2008).
2. Hargreaves, D.C., Horng, T., and Medzhitov, R. Control of inducible gene expression by signal-dependent transcriptional elongation. *Cell* **138**(1), 129-145 (2009).
3. Nicodeme, E., Jeffrey, K.L., Schaefer, U., *et al.* Suppression of inflammation by a synthetic histone mimic. *Nature* **468**(7327), 1119-1123 (2010).
4. Dawson, M.A., Kouzarides, T., and Huntly, B.J. Targeting epigenetic readers in cancer. *N. Engl. J. Med.* **367**(7), 647-657 (2012).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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