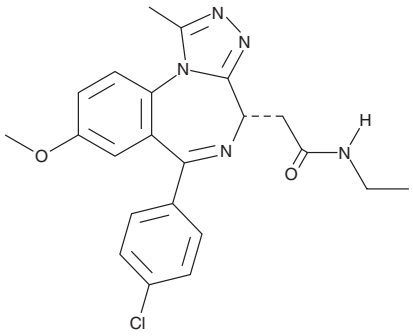
PRODUCT INFORMATION

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:	$C_{22}H_{22}ClN_5O_2$
FW:	423.9
Purity:	≥98%
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

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, which should be purged with an inert gas. I-BET762 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). 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).

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