

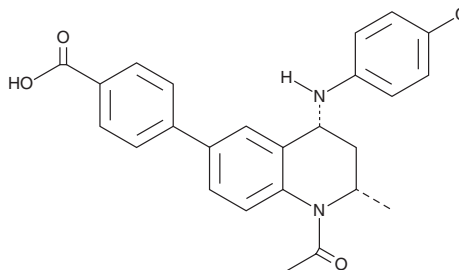
PRODUCT INFORMATION



I-BET726

Item No. 16872

CAS Registry No.: 1300031-52-0
Formal Name: 4-[(2S,4R)-1-acetyl-4-[[4-chlorophenyl]amino]-1,2,3,4-tetrahydro-2-methyl-6-quinolinyl]-benzoic acid
Synonym: GSK1324726A
MF: C₂₅H₂₃ClN₂O₃
FW: 435.0
Purity: ≥98%
UV/Vis.: λ_{max}: 256, 291 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



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

Laboratory Procedures

I-BET726 is supplied as a crystalline solid. A stock solution may be made by dissolving the I-BET726 in the solvent of choice. I-BET726 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of I-BET726 in these solvents is approximately 15, 25, and 30 mg/ml, respectively.

I-BET726 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, I-BET726 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. I-BET726 has a solubility of approximately 0.2 mg/ml in a 1:4 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

I-BET726 is an inhibitor of BET family proteins that binds BRD2, BRD3, and BRD4 with high affinity (IC₅₀s = 41, 31, and 22 nM, respectively) and competes with tetra-acetylated histone 4 peptides for binding to the bromodomains of these proteins.^{1,2} It exhibits >1,000-fold selectivity for these proteins over other bromodomain-containing homologs.¹ I-BET726 inhibits cell growth and induces cytotoxicity in neuroblastoma cell lines by modulating the expression of genes involved in apoptosis and Myc signaling.¹ I-BET726 can be administered orally to animals, and it reduces tumor growth in mouse xenograft models of human neuroblastoma.¹

References

1. Gosmini, R., Nguyen, V.L., Toum, J., *et al.* The discovery of I-BET726 (GSK1324726A), a potent tetrahydroquinoline apoA1 up-regulator and selective BET bromodomain inhibitor. *J. Med. Chem.* **57**, 8111-8131 (2014).
2. Wyce, A., Ganji, G., Smitheman, K.N., *et al.* BET inhibition silences expression of MYCN and BCL2 and induces cytotoxicity in neuroblastoma tumor models. *PLoS One* **8**(8), e72967 (2013).

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.

WARRANTY AND LIMITATION OF REMEDY

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