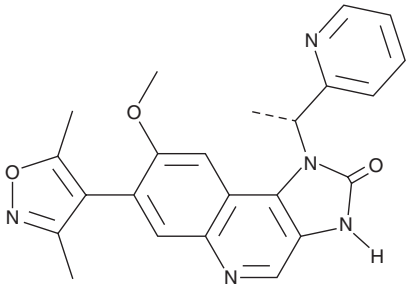
PRODUCT INFORMATION

I-BET151

Item No. 11181

CAS Registry No.:	1300031-49-5
Formal Name:	7,3,5-dimethyl-4-isoxazolyl-1,3-dihydro-8-methoxy-1-[1R-1-(2-pyridinyl)ethyl]-2H-imidazo[4,5-c]quinolin-2-one
Synonym:	GSK1210151A
MF:	$C_{23}H_{21}N_7O_3$
FW:	415.5
Purity:	≥98%
UV/Vis.:	λ_{max}: 259, 319 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-BET151 is supplied as a crystalline solid. A stock solution may be made by dissolving the I-BET151 in the solvent of choice. I-BET151 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-BET151 in these solvents is approximately 1, 5, and 10 mg/ml, respectively.

I-BET151 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, I-BET151 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. I-BET151 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMF: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 play a key role in many cellular processes, including inflammatory gene expression, mitosis, and viral/host interaction by controlling the assembly of histone acetylation-dependent chromatin complexes.[1] I-BET151 is an isoxazole class pan-BET family inhibitor, blocking BRD2, BRD3, and BRD4 with IC_{50} values of 0.5, 0.25, and 0.79 µM, respectively).[2-5] Through this action, it blocks the growth of leukemic cell lines driven by mixed lineage leukemia (MLL) fusions at nanomolar concentrations, whereas tyrosine kinase activated cells were much less sensitive.[2] Specifically, I-BET151 induces apoptosis *via* reduced expression of BCL2 or triggers G_0/G_1 cell cycle arrest in MLL-fusion cell lines.[2] I-BET151 is effective *in vivo*, suppressing MLL leukemia progression in two different mouse models.[2]

References

1. Gallenkamp, D., Gelato, K.A., Haendler, B., *et al. Chem MedChem* **9(3)**, 438-464 (2014).
2. Dawson, M.A., Prinjha, R.K., Dittmann, A., *et al. Nature* **478**, 529-533 (2011).
3. Vidler, L.R., Brown, N., Knapp, S., *et al. J. Med. Chem.* **55(17)**, 7346-7359 (2012).
4. Hewings, D.S., Fedorov, O., Filippakopoulos, P., *et al. J. Med. Chem.* **56(8)**, 3217-3227 (2013).
5. Dawson, M.A., Kouzarides, T., and Huntly, B.J. *N. Engl. J. Med.* **367(7)**, 647-657 (2012).

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