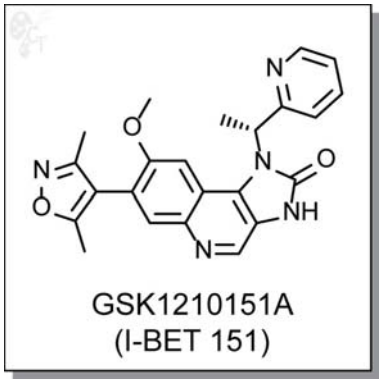
Product Specification Sheet

Product Name: GSK1210151A (I-BET 151)

Catalog Number: C4121



Technical information:

Chemical Formula:	$C_{23}H_{21}N_5O_3$
CAS #:	1300031-49-5
Molecular Weight:	415.44
Purity:	> 98%
Appearance:	White solid
Solubility:	Soluble in DMSO up to 22 mM
Chemical Name:	7-(3,5-dimethylisoxazol-4-yl)-8-methoxy-1-((R)-1-(pyridin-2-yl)ethyl)-1H-imidazo[4,5-c]quinolin-2(3H)-one
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.241mL of DMSO for each mg of GSK1210151A (I-BET 151)
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

GSK1210151A (I-BET151) is an orally-available, imidazolonoquinoline-based inhibitor of the BET family of bromodomains, active against BRD2, BRD3, and BRD4 at IC50s of 500, 250, and 800 nM, respectively. It is also a potent upregulator of ApoA1 with an EC170 of 100 nM. [1]

GSK1210151A was shown to have profoudn efficacy against human and murine MLL-fusion leukemic cell lines, through the induction of early cell cycle arrest and apoptosis. The mode of action is partly due to the inhibition of transcrdiption of key genes (BCL2, C-MYC, and CDK6) through the displacement of BRD3/4, PAFc, and SEC components through chromatin. [2]

Because ApoA1 upregulation is often associated with anti-inflammatory properties in non hepatic cells, GSK1210151A was tested and shown to exhibit a dose-dependent inhibition of both IL-6 and TNFa production in LPS-stimulated human PBMCs. [3]

Reference:

1. Seal et al., Identification of a novel series of BET family bromodomain inhibitors: binding mode and profile of I-BET151 (GSK1210151A). Bioorg. Med. Chem. Lett. 2012, 22, 2968-2972. Pubmed ID: 22437115
2. Dawson et al., Inhibition of BET recruitment to chromatin as an effective treatment for MLL-fusion leukaemia. Nature 2011, 478, 529-533. Pubmed ID: 21964340
3. Mirguet et al., From ApoA1 upregulation to BET family bromodomain inhibition: discovery of I-BET151. Bioorg. Med. Chem. Lett. 2012, 22, 2963-2967. Pubmed ID: 22386529

To reorder: http://www.cellagentech.com/GSK1210151A-I-BET-151/

For Technical Support: technical@cellagentech.com

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