**Product Specification Sheet**

**Product Name:**  GSK1210151A (I-BET 151)

**Catalog Number:**  C4121

**Technical information:**
- **Chemical Formula:**  C_{23}H_{21}N_{5}O_{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 profound 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 transcription 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 TNFα production in LPS-stimulated human PBMCs. [3]

  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


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*Chemicals are sold for research use only, not for clinical or diagnostic use.*