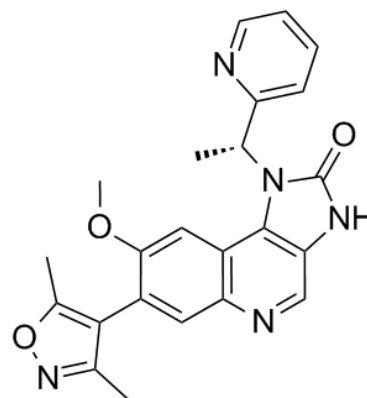


Product Name : I-BET151
Cat. No. : PC-43414
CAS No. : 1300031-49-5
Molecular Formula : C₂₃H₂₁N₅O₃
Molecular Weight : 415.4445
Target : Bromodomain
Solubility : 10 mM in DMSO



Biological Activity

I-BET151 (GSK1210151A) is a potent, selective **BET bromodomain** inhibitor with IC₅₀ of 0.5, 0.25 and 0.79 μM for BRD2, BRD3 and BRD4 in FP assays, shows no effect on the 23 other bromodomain proteins including MLL.

I-BET151 (GSK1210151A) demonstrates potent efficacy against cell lines harbouring different MLL-fusions (MOLM13 cell IC₅₀=120 nM, MV4:11 cell IC₅₀=26 nM).

I-BET151 (GSK1210151A) induces early cell cycle arrest and apoptosis, selectively abrogates BRD3/4 recruitment to chromatin.

I-BET151 (GSK1210151A) shows significant therapeutic value and survival benefit in mouse models of murine MLL-AF9 and human MLL-AF4 leukaemia.

References

Dawson MA, et al. *Nature*. 2011 Oct 2;478(7370):529-33.

Mirguet O, et al. *Bioorg Med Chem Lett*. 2012 Apr 15;22(8):2963-7.

Chaidos A, et al. *Blood*. 2014 Jan 30;123(5):697-705.

Wyspiańska BS, et al. *Leukemia*. 2014 Jan;28(1):88-97.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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