www.bioss.com.cn
sales@bioss.com.cn
techsupport@bioss.com.cn
400-901-9800

I-BET151 (GSK1210151A)

产品编号: D51017

CAS: 1300031-49-5

分子式: C23H21N5O3

纯度: ≥98%

InChi: InChI=1S/C23H21N5O3/c1-12-21(14(3)31-27-12)16-9-18-15(10-20(16)30-4)22-19(11-25-18)26-23(29)28(22)13(2)17-7-5-6-8-24-17/h5-11,13H,1-4H3,(H,26,29)/t13-/m1/s1

InChi Key: VUVUVNZRUGEAHB-CYBMUJFWSA-N

Smiles: COC1C=C2C3=C(C=NC2=CC=1C1C(C)=NOC=1C)NC(=O)N3[C@H](C)C1C=CC=CN=1

外观: 固体粉末

作用通路: Epigenetic Reader Domain

溶解性: DMSO up to 100mM

保存条件: Store in dry, dark place for one year.

产品介绍: I-BET151 (GSK1210151A) is a novel and selective inhibitor of the bromodomain and extra terminal (BET) family proteins BRD2, BRD3, and BRD4 with IC50 of ~0.5 μM, 0.25 μM, and 0.79 μM respectively. It has profound effects on human and murine MLL-fusion leukaemic cell lines, through the induction of early cell cycle arrest and apoptosis. The mode of action of I-BET151 is, at least in part, due to the inhibition of transcription at key genes (BCL2, C-MYC and CDK6) through the displacement of BRD3/4, PAFc and SEC components from chromatin. In vivo studies indicate that I-BET151 can provide survival benefit in two distinct mouse models of murine MLL鈥揊9 and human MLL鈥揊4 leukaemia. I-BET151 is also an ApoA1 upregulator that was also found to mediate potent anti-inflammatory effects. It showed a broad anti-inflammatory profile in a LPS-challenged Balb/C mouse model.