
CPI203

产品编号: D50794

CAS: 1446144-04-2

分子式: C₁₉H₁₈ClN₅O₅

纯度: ≥98%

InChi: InChi=1S/C₁₉H₁₈ClN₅O₅/c1-9-10(2)27-19-16(9)17(12-4-6-13(20)7-5-12)22-14(8-15(21)26)18-24-23-11(3)25(18)19/h4-7,14H,8H2,1-3H3,(H2,21,26)/t14-/m0/s1

InChi Key: QECMENZMDBOLDR-AWEZNQCLSA-N

Smiles: CC1=NN=C2[C@H](CC(N)=O)N=C(C3=C(SC(C)=C3C)N12)C1C=CC(Cl)=CC=1

外观: 固体粉末

作用通路: Apoptosis

溶解性: DMSO up to 50 mM

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

产品介绍: CPI203 is a novel potent, selective and cell permeable inhibitor of the bromodomain and extra terminal (BET) family protein BRD4 with an IC₅₀ of ~37 nM (BRD4 α -screen assay). It has an IC₅₀ of ~99 nM in inhibiting Myc expression in MV4-11 cells and an IC₅₀ of ~30 nM in inhibiting IL-6 production in THP-1 cells stimulated with LPS, decreases specific Ser2 phosphorylation of the carboxyl-terminal domain (CTD) of the RNA polymerase II (Pol II) by either endogenous BRD4 or a BRD4 mutant, BRD4 FEE-AAA, that is incapable of binding PTEFb. CPI203 is an analog of JQ-1 but has shown superior bioavailability with oral or intraperitoneal (IP) administration. When mice that were transplanted with primary mouse T-ALL cells, either Fbxw7^{+/+} or Fbxw7^{mut/+}, were treated with CPI203 at 5 mg/Kg orally twice per day, a significant and rapid reduction in leukemia burden was observed. CPI203 is a useful chemical probe to study the suppression of Myc-dependent cancer development.