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GSK2801

产品编号: D50940

CAS: 1619994-68-1

分子式: C20H21NO4S

纯度: ≥98%

InChi: InChI=1S/C20H21NO4S/c1-4-11-25-15-9-10-21-18(14(2)22)13-17(19(21)12-15)16-7-5-6-8-20(16)26(3,23)24/h5-10,12-13H,4,11H2,1-3H3

InChi Key: KHWCPNJRJCNVRI-UHFFFAOYSA-N

Smiles: CC(=O)C1=CC(=C2C=C(C=CN21)OCCC)C1C=CC=CC=1S(C)(=O)=O

外观: 固体粉末

作用通路: Apoptosis

溶解性: DMSO up to 100 mM

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

产品介绍: GSK2801 is a potent, selective and cell permeable inhibitor of the bromodomain BAZ2A and BAZ2B. It binds to BAZ2 bromodomains with dissociation constants (KD) of 136 and 257 nM for BAZ2B and BAZ2A, respectively. Crystal structures of GSK2801 demonstrated a canonical acetyl-lysine competitive binding mode. It does not interact with the bromodomains of BRD4(BD1), CREBBP, TRIM24/TIF1α, PB1(BD5), PCAF, or ATAD2. A pharmacokinetic study in mice showed that GSK2801 had reasonable in vivo exposure after oral dosing, with modest clearance and reasonable plasma stability. GSK2801 represents a versatile tool compound for cellular and in vivo studies to understand the role of BAZ2 bromodomains in chromatin biology.