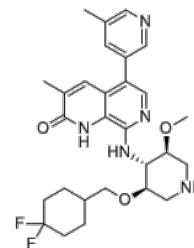


Product Name : GSK8814
Cat. No. : PC-63576
CAS No. : 1997369-78-4
Molecular Formula : C₂₈H₃₅F₂N₅O₃
Molecular Weight : 527.617
Target : Bromodomain
Solubility : 10 mM in DMSO

1. Bamborough P, et al. *Angew Chem Int Ed Engl.* 2016 Sep



Biological Activity

GSK8814 is a potent, selective inhibitor of **ATAD2/2B bromodomain** binding constant pK_d of 8.1 in ITC assays.

GSK8814 displaces acetylated H4 peptide from the ATAD2 bromodomain with pIC₅₀ of 7.3 and is also active in BROMOscan with pK_i of 8.9.

GSK8814 displays >100 fold selective over all other bromodomains and >1,000 fold selective over BRD4.

GSK8814 shows cellular target engagement with an EC₅₀ of 2 μM in a NanoBRET assay evaluating the interaction of the NanoLuc-ATAD2 bromodomain with histone H3.3-HaloTag.

References

12;55(38):11382-6.

