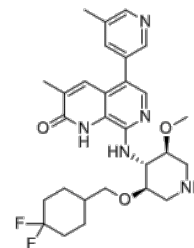


**Product Name** : GSK8814  
**Cat. No.** : PC-63576  
**CAS No.** : 1997369-78-4  
**Molecular Formula** : C<sub>28</sub>H<sub>35</sub>F<sub>2</sub>N<sub>5</sub>O<sub>3</sub>  
**Molecular Weight** : 527.617  
**Target** : Bromodomain  
**Solubility** : 10 mM in DMSO

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<sub>d</sub> of 8.1 in ITC assays.

GSK8814 displaces acetylated H4 peptide from the ATAD2 bromodomain with pIC<sub>50</sub> of 7.3 and is also active in BROMOscan with pK<sub>i</sub> 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 EC<sub>50</sub> 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.

