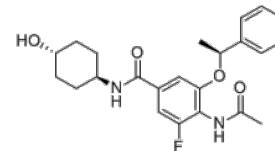


**Product Name** : GSK046  
**Cat. No.** : PC-72182  
**CAS No.** : 2474876-09-8  
**Molecular Formula** : C<sub>23</sub>H<sub>27</sub>FN<sub>2</sub>O<sub>4</sub>  
**Molecular Weight** : 414.477  
**Target** : Bromodomain  
**Solubility** : 10 mM in DMSO (4.1 mg/mL)



## Biological Activity

GSK046 (GSK-046, iBET-BD2) is a potent, highly selective inhibitor of BET BD2 with pIC<sub>50</sub> of 7.3 (**BRD4 BD2**), >1000-fold over BRD4 BD1.

GSK046 (iBET-BD2) potently inhibits BRD2/BRD3/BRDT BD2 with pIC<sub>50</sub> of 6.6/7.0/6.7.

GSK046 (iBET-BD2) inhibits MCP-1 cytokine release (pIC<sub>50</sub>=7.5) with a minimal drop-off from the biochemical BRD4 BD2 potency observed in an LPS-stimulated PBMC cellular assay.

GSK046 (iBET-BD2) is efficacious in a broad range of inflammatory pathologies and selective BD2 inhibition may be a useful new therapeutic strategy for immunoinflammatory diseases.

## References

Preston A, et al. *J Med Chem.* 2020 Sep 10;63(17):9070-9092.

Seal JT, et al. *J Med Chem.* 2020 Sep 10;63(17):9093-9126.

**Caution: Product has not been fully validated for medical applications. Lab Use Only!**

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