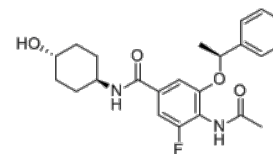


Product Name : GSK046
Cat. No. : PC-72182
CAS No. : 2474876-09-8
Molecular Formula : C₂₃H₂₇FN₂O₄
Molecular Weight : 414.477
Target : Bromodomain
Solubility : 10 mM in DMSO (4.1 mg/mL)



Biological Activity

GSK046 (GSK-046, iBET-BD2) is a potent, highly selective inhibitor of **BET BD2** with pIC₅₀ of 7.3 (**BRD4 BD2**), >1000-fold over BRD4 BD1.

GSK046 (iBET-BD2) potently inhibits BRD2/BRD3/BRDT BD2 with pIC₅₀ of 6.6/7.0/6.7.

GSK046 (iBET-BD2) inhibits MCP-1 cytokine release (pIC₅₀=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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