优睿科
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Data Sheet

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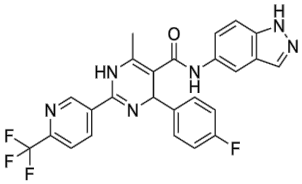
Global Supplier of Chemical Probes, Inhibitors & Agonists

Product Name :GSK317354A
Cat.No. :URK-V2506
CAS No. :874119-13-8
Molecular Weight :494.44
Molecular Formula :$C_{25}H_{18}F_4N_6O$
Target :
Solubility :



Biological Activity

GSK317354A is a potent and selective inhibitor of the bromodomain and extra-terminal (BET) family of proteins. BET proteins are epigenetic readers that play important roles in gene transcription and are involved in various cellular processes, including cell proliferation, differentiation, and apoptosis.

The principle of GSK317354A is to block the interaction between BET proteins and acetylated lysine residues, which disrupts the formation of the transcriptional complex and inhibits gene expression. GSK317354A has shown strong activity against BET proteins in both biochemical and cellular assays. Additionally, it has exhibited excellent pharmacokinetic properties and good safety profile in preclinical testing.

References

1. Filippakopoulos P, Knapp S. Targeting bromodomains: epigenetic readers of lysine acetylation. Nat Rev Drug Discov. 2014;13(5):337-356.
2. Bao Y, Wen Y, Lu Y, et al. BET inhibitors in cancer therapy: a review of the current landscape of clinical trials. Target Oncol. 2020;15(3):293-308.
3. Amorim S, Stathis A, Gleeson M, et al. Bromodomain inhibitor OTX015 in patients with lymphoma or multiple myeloma: a dose-escalation, open-label, pharmacokinetic, phase 1 study. Lancet Haematol. 2016;3(4):e196-e204.

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