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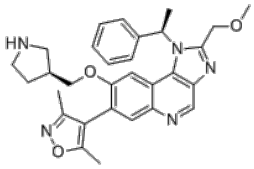
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GSK778
Cat. No.	:	PC-38071
CAS No.	:	2451862-42-1
Molecular Formula	:	$C_{30}H_{33}N_5O_3$
Molecular Weight	:	511.63
Target	:	Bromodomain
Solubility	:	10 mM in DMSO



Biological Activity

GSK778 is a potent and selective inhibitor of **bromodomain (BRD) BD1** with IC50 of 75 nM (BRD2-BD1), 41 nM (BRD3-BD1), 41 nM (BRD4 BD1), and 143 nM (BRDT BD1), respectively.
GSK778 inhibits BRD BD2 with the IC50s of 3950 nM (BRD2 BD2), 1210 nM (BRD3 BD2), 5843 nM (BRD4 BD2), and 17451 nM (BRDT BD2), respectively.
GSK778 inhibits the proliferative activity of human primary CD4+ T cells and the production of effector cytokines including IFNγ, IL-17A and IL-2.
GSK778 has a more pronounced effect on the growth and viability of MDA-453, MOLM-13, K562, MV4-11, THP-1, and MDA-MB-231 cells, GSK778 reduces the clonogenic capacity of primary human AML cells.
GSK778 offers a superior survival advantage to iBET-BD2 in the aggressive MLL-AF9 AML model. GSK778 reduces the production of anti-keyhole limpet hemocyanin (KLH) IgM and is well tolerated.

References

Chun-Shan Liu, et al. ***Int J Cancer.*** 2022 Mar 3. doi: 10.1002/ijc.33989.

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