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Data Sheet

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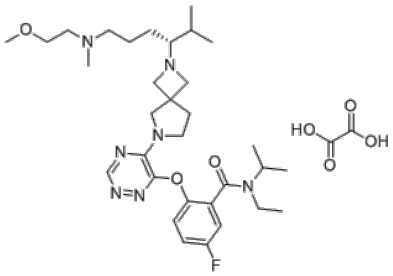
Product Name	:	Bleximenib oxalate
Cat. No.	:	PC-21974
CAS No.	:	2866179-95-3
Molecular Formula	:	$C_{34}H_{52}FN_7O_7$
Molecular Weight	:	689.83
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



CAS: 2866179-95-3

Biological Activity

Bleximenib oxalate (JNJ-75276617 oxalate) is a potent, selective and orally bioavailable protein-protein interaction inhibitor of the binding between **menin** and **KMT2A**, binds to the menin protein with IC50 of 0.1 nM (human menin) in FITC assays.
JNJ-75276617 exhibits potent inhibitory activity against mouse and dog menin, with 10 IC50 values similar or slightly lower than for human menin.
displays weak to no interaction against 5,427 proteins (Prolylcarboxypeptidase (PRCP)), as well as no inhibition against against 52 receptors including nuclear receptors, voltage, and ligand gated transporters (CEREP) at 10 uM.
JNJ-75276617 (0.1-1.0 uM) decreases menin binding to target promoters and reduces menin KMT2A target gene expression in MOLM-14 and OCI-AML3 cells.
JNJ-75276617 reduces various menin-KMT2A target genes, including MEIS1 and PBX3 in KMT2A-r and NPM1c AML cells compared to KMT2A/NPM1-WT cell lines.
JNJ-75276617 inhibits proliferation and induces apoptosis in AML and B-ALL cell lines, KMT2A-r AML cell lines (IC50 <0.1 μM).
JNJ-75276617 mediates anti-leukemic activity by induction of myeloid differentiation.
JNJ-75276617 reduces leukemic burden and provides a significant dose-dependent survival benefit accompanied by expression changes of menin-KMT2A target genes in xenograft models of AML and ALL.
JNJ-75276617 demonstrates synergistic effects with gilteritinib in vitro in AML cells harboring KMT2A-r, exhibits synergistic effects with venetoclax and azacitidine in AML cells bearing KMT2A-r in vitro, and significantly increases survival in mice.
JNJ-75276617 shows potent anti-proliferative activity in cell lines engineered with recently discovered mutations (MEN1M327I or MEN1T349M) that developed in patients refractory to the menin-KMT2A inhibitor revumenib.

References

Patent WO2022237720, compound A.
2. Kwon MC, et al. ***Blood***. 2024 Jun 21:blood.2023022480.