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Data Sheet

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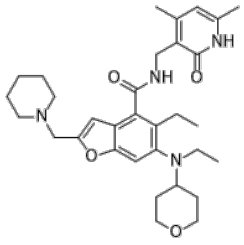
Product Name	:	SHR2554
Cat. No.	:	PC-25006
CAS No.	:	2098545-98-1
Molecular Formula	:	$C_{32}H_{44}N_4O_4$
Molecular Weight	:	548.73
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



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Biological Activity

Zeprumetostat (SHR2554) is a potent, highly selective EZH2 inhibitor, specifically inhibits both wild-type (IC50=0.87 nM) and mutant (EZH2(Y641F), IC50=2.68 nM) EZH2 methyltransferase activity with similar potencies.
SHR2554 significantly reduce intracellular H3K27me3 levels in Pfeiffer cells with IC50 of 1.63 nM.
SHR2554 is highly selective for EZH2 over other DNA methyltransferases, with only exception was EZH1, which is homologous to EZH2, with IC50 of 19.10 nM.
SHR2554 inhibits proliferation, induced G1 phase arrest and promoted apoptosis in DLBCL cell lines with IC50 of <300-<600 nM.
SHR2554 exhibits synergistic effect with HDAC inhibitor HBI8000 on induction of cell death in DLBCL cell lines, induces apoptosis, cell cycle arrest in the G1/S phase and change of histone modification.
Combination of SHR2554 and HBI8000 exhibited synergistic anti-tumor effect in DLBCL models in vivo.

References

Wang X, et al. Cancers (Basel). 2021 Aug 24;13(17):4249.

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

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