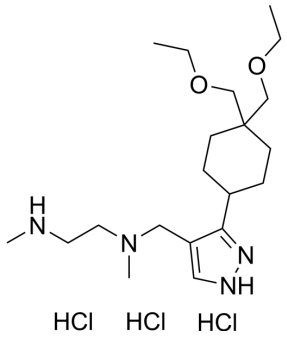
GSK3368715 trihydrochloride

Cat. No.:	HY-128717B
CAS No.:	2227587-26-8
Molecular Formula:	$C_{20}H_{41}Cl_3N_4O_2$
Molecular Weight:	475.92
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	GSK3368715 trihydrochloride (EPZ019997) is an orally active, reversible, and S-adenosyl-L-methionine (SAM) uncompetitive type I protein arginine methyltransferases (PRMTs) inhibitor (IC_{50}=3.1 nM (PRMT1), 48 nM (PRMT3), 1148 nM (PRMT4), 5.7 nM (PRMT6), 1.7 nM (PRMT8)). GSK3368715 trihydrochloride (EPZ019997) produces a shift in arginine methylation states, alters exon usage, and has strong anti-cancer activity[1].
IC_{50} & Target	PRMT4 1148 nM (IC_{50}) PRMT3 48 nM (IC_{50}) PRMT1 3.1 nM (IC_{50}) PRMT6 5.8 nM (IC_{50}) PRMT8 1.7 nM (IC_{50})
In Vitro	GSK3368715 trihydrochloride (EPZ019997) shows 50% or more growth inhibition relative to DMSO-treated cells in the majority of 249 cancer cell lines, representing 12 tumor types[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GSK3368715 trihydrochloride (EPZ019997) significantly effects on the growth of BxPC3 xenografts at all doses tested, reducing tumor growth by 78% and 97% in the 150- and 300-mg/kg dose groups, respectively[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2023 Feb 23;14(1):1011.
- Cell Rep. 2023 Mar 29;42(4):112316.
- Cell Death Dis. 2021 Nov 13;12(11):1080.
- Mol Carcinog. 2023 May 5.
- Front Pharmacol. 2020 Sep 8;11:569661.

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REFERENCES

[1]. Fedoriw A, et al. Anti-tumor Activity of the Type I PRMT Inhibitor, GSK3368715 trihydrochloride, Synergizes with PRMT5 Inhibition through MTAP Loss. Cancer Cell. 2019 Jul 8;36(1):100-114.e25.

Caution: Product has not been fully validated for medical applications. For research use only.

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