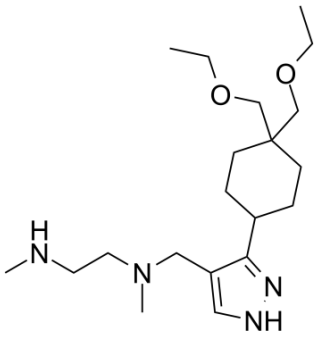
GSK3368715

Cat. No.:	HY-128717
CAS No.:	1629013-22-4
Molecular Formula:	$C_{20}H_{38}N_4O_2$
Molecular Weight:	366.54
Target:	Histone Methyltransferase
Pathway:	Epigenetics
Storage:	Please store the product under the recommended conditions in the COA.



BIOLOGICAL ACTIVITY

Description	GSK3368715 (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 (EPZ019997) produces a shift in arginine methylation states, alters exon usage, and has strong anti-cancer activity[1].
IC_{50} & Target	IC50: 3.1 nM (PRMT1), 48 nM (PRMT3), 1148 nM (PRMT4), 5.7 nM (PRMT6), 1.7 nM (PRMT8)[1] Ki^{app}: 1.5 nM (PRMT1), 81 nM (PRMT3), 19 nM (PRMT4), 2.4 nM (PRMT6), 2 nM (PRMT8)[1]
In Vitro	GSK3368715 (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].
In Vivo	GSK3368715 (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].

REFERENCES

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

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