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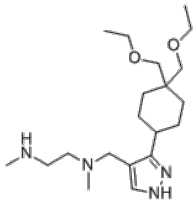
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GSK3368715
Cat. No.	:	PC-72600
CAS No.	:	1629013-22-4
Molecular Formula	:	$C_{20}H_{38}N_4O_2$
Molecular Weight	:	366.55
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

GSK3368715 (EPZ019997, GSK-3368715) is a potent, reversible and SAM uncompetitive **type I PRMT** inhibitor with Kiapp of 1.5 to 81 nM for PRMT1, 3, 4, 6, and 8.
GSK3368715 displays minimal inhibition against a panel of lysine methyltransferases, and no inhibition against type II and type III PRMTs.
GSK3368715 displays time-dependent inhibition of all the type I PRMTs except PRMT3, binds in the peptide site directly adjacent to the SAM pocket.
GSK3368715 demonstrated anti-proliferative activity against all cancer cell lines and primary patient samples; produces synergistic cancer cell growth inhibition when combined with PRMT5 inhibitor GSK3203591.
GSK3368715 induced an interferon gene signature, amplified responses to interferon and innate immune signaling pathways, and decreased expression of the immunosuppressive cytokine VEGF.

References

Fedoriw A, et al. ***Cancer Cell.*** 2019 Jul 8;36(1):100-114.e25.

Noto PB, et al. ***Sci Rep.*** 2020 Dec 17;10(1):22155.

Fedoriw A, et al. ***Cancer Immunol Res.*** 2022 Feb 18:canimm.0614.2021.

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