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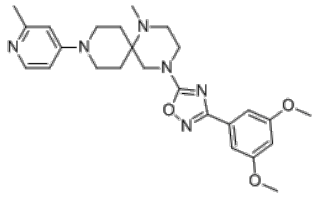
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MRK-740
Cat. No.	:	PC-73122
CAS No.	:	2387510-80-5
Molecular Formula	:	$C_{25}H_{32}N_6O_3$
Molecular Weight	:	464.57
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

MRK-740 (MRK740) is a first-in-class, potent, selective and cell-active **PRDM9** inhibitor with IC50 of 80 nM.
MRK-740 is much less potent at inhibiting PRDM7 (IC50=45 uM), doest not inhibits 11 other PRDM family members, also shows selectivity against a panel of 108 enzymes and receptors.
MRK-740 binds in the substrate-binding pocket (SPR Kd=87 nM), with unusually extensive interactions with the cofactor SAM, conferring SAM-dependent substrate-competitive inhibition.
MRK-740 engages PRMD9 and inhibits PRDM9-dependent trimethylation of ectopic H3K4 (EC50=0.8 uM) and endogenous H3K4 in in HEK293T cells, with minimal impact on cell viability.
MRK-740 specifically inhibits PRDM9-dependent methylation on endogenous chromatin, but does not affect H3K4 methylation activity from non-PRDM9 sources.
MRK-740 does not affect proliferation of cancer cell lines.
MRK-740 is a chemical probe for the PRDM subfamily of methyltransferases.

References

Allali-Hassani A, et al. ***Nat Commun.*** 2019 Dec 17;10(1):5759.

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

E-mail: tech@probechem.com