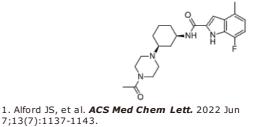


WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	EZM0414
Cat. No.	:	PC-73322
CAS No.	:	2411748-50-8
Molecular Formula	:	C ₂₂ H ₂₉ FN ₄ O ₂
Molecular Weight	:	400.498
Target	:	Histone Methyltransferase (HMTase)
Solubility	:	10 mM in DMSO



Biological Activity

EZM 0414 is a potent, selective and orally bioavailable inhibitor of histone methyltransferase **SETD2** with biochemical IC50 of 18 nM, >10,000-fold selectivity over other HMTases.

EZM 0414 reduced global tri-methylation of H3K36 (H3K36me3, IC50=34 nM) in t(4;14) bearing MM cell lines, with no effect on global di-methyl H3K36 (H3K36me2) levels.

EZM 0414 demonstrated broad anti-proliferative effects of EZM0414 in a panel of MM cell lines with enhanced sensitivity in cells harboring the (4;14) chromosomal translocation, as well as in a panel of DLBCL cell lines representing both GCB and ABC subtypes.

EZM 0414 suppressed tumor volume and inhibited H3K36me3 level in human MM and DLBCL xenograft models.

References