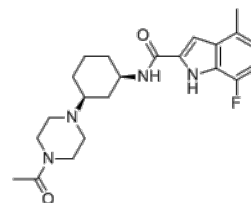


Product Name : EZM0414
Cat. No. : PC-73322
CAS No. : 2411748-50-8
Molecular Formula : C₂₂H₂₉FN₄O₂
Molecular Weight : 400.498
Target : Histone Methyltransferase (HMTase)
Solubility : 10 mM in DMSO



1. Alford JS, et al. *ACS Med Chem Lett.* 2022 Jun 7;13(7):1137-1143.

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

