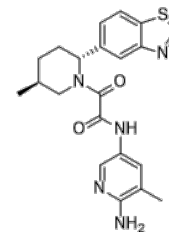


Product Name : TNG908
Cat. No. : PC-22132
CAS No. : 2760481-53-4
Molecular Formula : C₂₁H₂₃N₅O₂S
Molecular Weight : 409.51
Target : Histone Methyltransferase (HMTase)
Solubility : 10 mM in DMSO



CAS: 2760481-53-4

Biological Activity

TNG908 (Ralometostat, TNG-908) is a potent, selective, brain penetrant, MTA-cooperative **PRMT5** inhibitor with IC₅₀ of 9 nM in SDMA in-cell western assay, binds the PRMT5-MTA complex, selectively kills MTAP-deleted (MTAP-null) cells. TNG908 binds apo-PRMT5 with a K_D of 1.9 nM, and to the PRMT5-MTA complex with K_d of 0.3 nM in FA assays, 6-fold increase in potency in the presence of MTA.

TNG908 is 15-fold selective for MTAP-null cells relative to isogenic MTAP WT cells

TNG908 treatment (10, 30, or 60 mg/kg BID) drives dose-dependent PD and antitumor activity in the LN18 MTAP-null GBM xenograft model, exhibits strong, dose-dependent antitumor activity including a 48% tumor regression at the 120 mg/kg BID dose level in the LU99 MTAP-null NSCLC CDX model.

References

Cottrell KM, et al. *J Med Chem*. 2024 Apr 10. doi: 10.1021/acs.jmedchem.4c00133.

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

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