

Data Sheet

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 Product Name
 :
 UNC6934

 Cat. No.
 :
 PC-49209

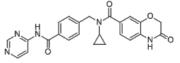
 CAS No.
 :
 2561494-77-5

 Molecular Formula
 :
 C₂₄H₂₁N₅O₄

 Molecular Weight
 :
 443.463

Target : Histone Methyltransferase (HMTase)

Solubility : 10 mM in DMSO



Biological Activity

UNC6934 is a potent, selective chemical probe ligand targeting the N-terminal PWWP (PWWP1) domain of **NSD2** with Kd value of 91 nM, selectively binds in the aromatic cage of NSD2-PWWP1, thereby disrupting its interaction with H3K36me2 nucleosomes.

UNC6934 occupies the canonical H3K36me2-binding pocket of PWWP1, antagonizes PWWP1 interaction with nucleosomal H3K36me2 and selectively engages endogenous NSD2 in cells.

UNC6934 induces accumulation of endogenous NSD2 in the nucleolus, phenocopying the localization defects of NSD2 protein isoforms lacking PWWP1 that result from translocations prevalent in multiple myeloma (MM).

UNC6934 is selective for NSD2-PWWP1 over 14 other human PWWP domains and does not inhibit any of a panel of 33 methyltransferase domains including the H3K36 methyltransferases, NSD1, NSD2, NSD3, and SETD2.

UNC6934 selectively engages NSD2 in live cells (U2OS cell) with EC50 of 1.23 uM.

UNC6934 promotes enrichment of endogenous NSD2 in the nucleolus.

References

David Dilworth, et al. Nat Chem Biol. 2022 Jan;18(1):56-63.

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

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