

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 EP652

 Cat. No.
 :
 PC-24016

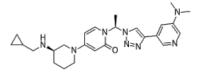
 CAS No.
 :
 3050819-22-9

 Molecular Formula
 :
 C₂₅H₃₄N₈O

 Molecular Weight
 :
 462.60

Target : Histone Methyltransferase (HMTase)

Solubility: 10 mM in DMSO



CAS: 3050819-22-9

Biological Activity

EP652 is a potent, selective and in vivo active inhibitor of RNA methyltransferase **METTL3** with IC50 of 2 nM (METTL3/14) in SPA primary assays.

EP652 dispalys high selectivity (at $10~\mu M$) against a panel of 40 methyltransferases, as well as in a standard safety screen panel (44 targets, including GPCRs, ion channels, and kinases).

EP652 exhibits m6A inhibition in mRNA from Calu-6 after 24 h treatment with IC50 of 8.6 nM.

EP652 demonstrates potent efficacy in cellulo across various cell lines in Kasumi-1 and MV-4–11 (AML cell lines), Caov-3 and SK-OV-3 (ovarian cancer cell lines), Calu-6 and A549 (lung cancer cell lines), and FaDu (Head and neck cancer cell line)) in cell viability experiments.

EP652 demonstrates dose-dependent decrease of the m6A cellular level in PBMC from rates, maximum m6A reduction (~75%) at as low as 0.3 mg/kg.

EP652 (10 and 30 mg/kg ip, QD) effectively arrested cancer progression in MV-4-11 model (MV-4-11-Luc-mCh-Puro CDX model).

References

Dutheuil G, et al. *J Med Chem*. 2025 Feb 13;68(3):2981-3003.

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

E-mail: tech@probechem.com