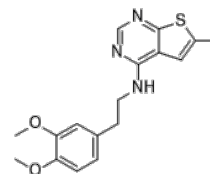


Product Name : CIA1 free base
Cat. No. : PC-25580
CAS No. : 452087-38-6
Molecular Formula : C₁₇H₁₉N₃O₂S
Molecular Weight : 329.42
Target : Other Targets
Solubility : 10 mM in DMSO



CAS: 452087-38-6

Biological Activity

CIA1 free base (COUP-TFII inhibitor A1) is a potent and specific inhibitor of **COUP-TFII** activity, inhibits COUP-TFII-driven NGFIA reporter expression with IC₅₀ of 3.2 μM, disturbs COUP-TFII binding to FOXA1 to regulate target gene expression. CIA1 repressed NGFIA reporter expression in a COUP-TFII-dependent manner, as COUP-TFII knockdown abrogated CIA1-induced inhibition.

CIA1 directly interacts with COUP-TFII protein, CIA1 treatment resulted in a thermal stabilization of COUP-TFII.

CIA1 inhibited growth of multiple prostate cancer cell lines including androgen-sensitive LNCaP cells, AR-negative PC3 cells, as well as CRPC C4-2, abl, and AR variant 22Rv1 cells with IC₅₀ of 1.2 to 7.6 μM, also notably inhibited the colony formation ability of prostate cancer cells in a dose-dependent manner.

COUP-TFII inhibitor CIA1 can phenocopy COUP-TFII silencing, with no apparent effect COUP-TFII protein levels, disturbed COUP-TFII target genes in tumor samples, including induced FOXM1, CDK1, and repressed p21.

COUP-TFII inhibitor CIA1 (2.6 mg/kg, i.p.) inhibited prostate cancer tumor growth in PC3 xenograft in the mice that bear PC3 xenograft, with limited toxicity.

References

Leiming Wang, et al. *Sci Adv.* 2020 Apr 29;6(18):eaaz8031.

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

E-mail: tech@probechem.com