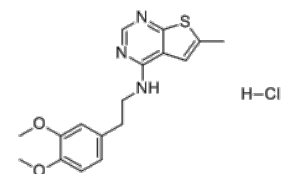


**Product Name** : COUP-TFII inhibitor CIA1  
**Cat. No.** : PC-38207  
**CAS No.** : 1049691-47-5  
**Molecular Formula** : C<sub>17</sub>H<sub>20</sub>ClN<sub>3</sub>O<sub>2</sub>S  
**Molecular Weight** : 365.876  
**Target** : Other Targets  
**Solubility** : 10 mM in DMSO



## Biological Activity

CIA1 (COUP-TFII inhibitor A1) is a potent and specific inhibitor of **COUP-TFII** activity, inhibits COUP-TFII-driven NGFIA reporter expression with IC<sub>50</sub> of 3.2 uM, 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 IC<sub>50</sub> of 1.2 to 7.6 uM, 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!**

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