

## **Data Sheet**

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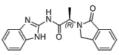
Global Supplier of Chemical Probes, Inhibitors & Agonists.

**Product Name** : Compound 919278

Cat. No. : PC-35532
CAS No. : 2189366-77-4
Molecular Formula : C<sub>18</sub>H<sub>16</sub>N<sub>4</sub>O<sub>2</sub>
Molecular Weight : 320.352

Target : Cyclin-dependent Kinase (CDK)

**Solubility** : 10 mM in DMSO



## **Biological Activity**

Compound 919278 is a specific inhibitor of **lymphotoxin**  $\beta$  **receptor** (**LT\betaR**, IC50=0.169 uM), and TNF receptor superfamily member 12A (FN14)-dependent nuclear translocation of p52 (IC50=0.167 uM) via inhibiting **CDK12/CCNK**. Compound 919278 does not inhibit the TNF- $\alpha$ -mediated nuclear translocation of p65 (RelA). Compound 919278 prevents the accumulation of NIK, selectively inhibits the noncanonical NF-kB pathway. Compound 919278 prevents the LT $\beta$ R- and FN14-dependent expression of MAP3K14 (which encodes NIK) as well as NIK accumulation by reducing phosphorylation of the carboxyl-terminal domain of RNA polymerase II. Compound 919278 reduces the binding of both CDK12 and its associated protein CCNK with IC50 of 30-60 nM, inhibits CDK12 cellular activity and reduces the phosphorylation of Ser2 on the RNA Pol II CTD. Compound 919278 phenocopies the effect of CDK12 knockdown on DEGs.

## References

Henry KL, et al. *Sci Signal*. 2018 Jul 31;11(541). pii: eaam8216.

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

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