

Data Sheet

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Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 RBN-012759

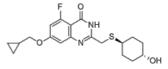
 Cat. No.
 :
 PC-49520

 CAS No.
 :
 2360851-29-0

 Molecular Formula
 :
 C₁₉H₂₃FN₂O₃S

Molecular Weight: 378.46
Target: PARP

Solubility : 10 mM in DMSO



Biological Activity

RBN-012759 (RBN012759) is a potent and highly selective **PARP14** chemical probe inhibitor with biochemical IC50 of 3 nM in TR-FRET assays, >300-fold selectivity over all PARP family members.

RBN-012759 is an in vivo chemical probe that selectively engages PARP14 in tissue.

RBN-012759 shows binding affinity for PARP14 with Kd value of 2 nM determined by surface plasmon resonance (SPR), inhibits mouse PARP14 TR-FRET probe displacement assay with an IC50 value of 5 nM, which is comparable with its human PARP14 potency (IC50=3 nM).

RBN-012759 rubustly inhibits PARP14-specific self-MARylation in IFN- γ -stimulated primary human macrophages. RBN-012759 reversed IL-4-driven gene expression in M2-like macrophages, including CD209, MMP-1 and CLTC. RBN-012759 also decreased the protein level of three M2-like proteins, IL-10, CCL24, and IL1-ra, in the supernatants of IL-4-stimulated macrophages.

RBN012759 induced antitumor inflammation in kidney cancer tumor explant models, elicited similar gene expression changes.

References

Laurie B Schenkel , et al. *Cell Chem Biol.* 2021 Aug 19;28(8):1158-1168.e13.

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

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