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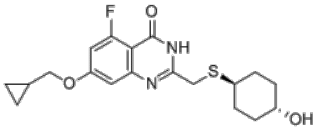
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	RBN012759
Cat. No.	:	PC-49520
CAS No.	:	2360851-29-0
Molecular Formula	:	$C_{19}H_{23}FN_2O_3S$
Molecular Weight	:	378.46
Target	:	PARP
Solubility	:	10 mM in DMSO



Biological Activity

RBN012759 (RBN-012759) 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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