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Data Sheet

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Product Name	:	ARUK3001185
Cat. No.	:	PC-72827
CAS No.	:	2411969-39-4
Molecular Formula	:	$C_9H_4Cl_2F_3N_3$
Molecular Weight	:	282.047
Target	:	Notum
Solubility	:	10 mM in DMSO



Biological Activity

ARUK3001185 is a potent, selective, brain-penetrant inhibitor of Wnt-deactivating enzyme **Notum** carboxylesterase activity with IC50 of 6.7 nM in OPTS biochemical assay.

ARUK3001185 restored Wnt signaling in the presence of Notum (EC50 110 nM) in a in a cell-based TCF/LEF (Luciferase) reporter assay.

ARUK3001185 demonstrated high selectivity against a panel of serine hydrolases, kinases, and representative drug targets. ARUK3001185 displayed good brain penetration suitable for oral dosing in rodent models of disease.

References

William Mahy, et al. J Med Chem. 2020 Nov 12;63(21):12942-12956.

Elliott D Bayle, et al. J Med Chem. 2021 Apr 22;64(8):4289-4311.

Zhao Y, et al. *Future Med Chem.* 2021 Jun;13(11):1001-1015.

University of Oxford: WO2020043866 (2020).

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com