

## **Data Sheet**

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 Product Name
 :
 ARUK3001185

 Cat. No.
 :
 PC-72827

 CAS No.
 :
 2411969-39-4

 Molecular Formula
 :
 C<sub>9</sub>H<sub>4</sub>Cl<sub>2</sub>F<sub>3</sub>N<sub>3</sub>

 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!

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