

## **Data Sheet**

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 Product Name
 :
 WNTinib

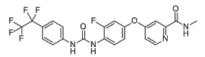
 Cat. No.
 :
 PC-20893

 CAS No.
 :
 2770091-44-4

 Molecular Formula
 :
 C<sub>22</sub>H<sub>16</sub>F<sub>6</sub>N<sub>4</sub>O<sub>3</sub>

Molecular Weight : 498.39 Target : Wnt

**Solubility** : 10 mM in DMSO



CAS: 2770091-44-4

## **Biological Activity**

WNTinib (APS-8-100-2) is a multi-kinase inhibitor with specificity against  $\beta$ -catenin (CTNNB1) mutant hepatocellular carcinoma, harnesses the KIT/MAPK/EZH2 pathway, shows durable and selective transcriptional repression of **mutant**  $\beta$ -catenin/Wnt targets through nuclear translocation of the EZH2 transcriptional repressor.

WNTinib reduces EZH2 phosphorylation to drive the suppression of essential gene networks in CTNNB1-mutated HCC. WNTinib depends on EZH2 relocalization to chromatin for its activity in CTNNB1-mutated HCC.

WNTinib utilizes unique polypharmacology to regulate the EZH2-WNT axis.

KIT, BRAF and p38 kinases are critical anti-targets for WNTinib.

WNTinib outperforms clinical compounds across in vivo models of HCC.

## References

Rialdi A, et al. *Nat Cancer*. 2023 Aug 3. doi: 10.1038/s43018-023-00609-9.

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

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