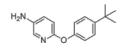


Data Sheet

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Product Name	:	CB-103
Cat. No.	:	PC-38257
CAS No.	:	218457-67-1
Molecular Formula	:	C ₁₅ H ₁₈ N ₂ O
Molecular Weight	:	242.322
Target	:	Notch
Solubility	:	100 mM in DMSO (24 mg/mL)



Biological Activity

CB-103 (CB103) is an orally active small-molecule inhibitor of the **Notch** transcription activation complex, inhibits both ligand-dependent and ligand-independent Notch activation in cell-based assays (IC50=0.9-3.9 uM).

CB-103 does not inhibit Wnt or Hedgehog signaling using reporter assays.

CB-103 inhibits Notch signaling in primary human T cell acute lymphoblastic leukemia and other Notch-dependent human tumor cell lines, and concomitantly induces cell cycle arrest and apoptosis, thereby impairing proliferation, including in GSI-resistant human tumor cell lines with chromosomal translocations and rearrangements in Notch genes.

CB-103 produces Notch loss-of-function phenotypes in flies and mice. CB-103 inhibits the growth of human breast cancer and leukemia xenografts, notably without causing the dose-limiting intestinal toxicity associated with other Notch inhibitors.

References

Lehal R, et al. *Proc Natl Acad Sci U S A*. 2020 Jul 14;117(28):16292-16301.

Lue JK, et al. Ann Lymphoma. 2020 Sep 30;4:7.