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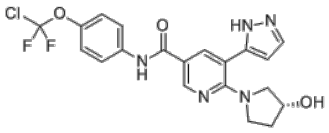
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Asciminib
Cat. No.	:	PC-62147
CAS No.	:	1492952-76-7
Molecular Formula	:	$C_{20}H_{18}ClF_2N_5O_3$
Molecular Weight	:	449.843
Target	:	Bcr-Abl
Solubility	:	10 mM in DMSO



Biological Activity

Asciminib (ABL001) is a potent and selective allosteric **ABL1** inhibitor with IC50 of 0.25 nM in BCR-ABL1-transformed BaF3 cells.
Asciminib (ABL-001) binds (Kd=0.5-0.8 nM) to the myristoyl pocket of ABL1 and induces the formation of an inactive kinase conformation.
Asciminib (ABL-001) inhibits phosphorylation of both STAT5 (Tyr694; pSTAT5) and BCR–ABL1 (Tyr245; pBCR–ABL1), and shows selective activity against all BCR–ABL1 cell lines (IC50=1-20 nM).
Asciminib (ABL-001) retains activity against mutated ABL-1 Thr315Ile at low nanomolar concentrations.
Asciminib (ABL-001) is active in vivo, moderate oral absorption.

References

Wylie AA, et al. ***Nature.*** 2017 Mar 30;543(7647):733-737.

Lee BJ, et al. ***Leukemia.*** 2017 May;31(5):1096-1107.

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