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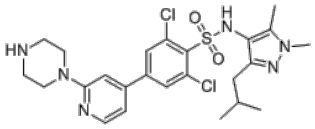
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	PCLX-001
Cat. No.	:	PC-72143
CAS No.	:	1215011-08-7
Molecular Formula	:	$C_{24}H_{30}Cl_2N_6O_2S$
Molecular Weight	:	537.504
Target	:	Other Targets
Solubility	:	10 mM in DMSO



Biological Activity

PCLX-001 (PCLX001) is a potent, selective, orally bioavailable **N-myristoyl-transferases (NMT1/2)** inhibitor with IC50 of 5/8 nM, respectively.
PCLX-001 selectively kills hematological cancer cell lines in comparison to cancer cell lines of other origins.
PCLX-001 selectively inhibits myristoylation in vitro and induces apoptosis in lymphoma cell lines, does not inhibit any of the 468 human kinases at 10 uM.
PCLX-001 reduces SFK levels and BCR downstream signaling.
PCLX-001 attenuates BCR downstream signaling events in BL2 lymphoma cells.
PCLX-001 selectively kills hematological cancer cells (IC50=0.166 uM) relative to benign lymphocytes in comparison to dasatinib and ibrutinib.
PCLX-001 reduces tumor volumes and leads to complete tumor regression in B-cell lymphoma xenograft models.
PCLX-001 can efficiently target breast cancer by reducing the viability of numerous breast cancer cell lines in vitro and cause disease regression in vivo in an established murine xenograft breast cancer model.

References

Beauchamp E, et al. ***Nat Commun.*** 2020 Oct 22;11(1):5348.

Mackey JR, Lai J,et al. ***Breast Cancer Res Treat.*** 2021 Feb;186(1):79-87.

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