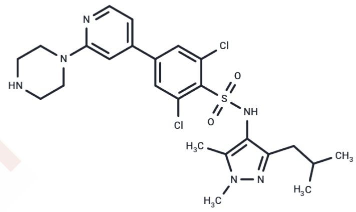
Data Sheet (Cat.No.T63788)

TargetMol

PCLX-001

Chemical Properties



CAS No. :	1215011-08-7
Formula:	C24H30Cl2N6O2S
Molecular Weight:	537.51
Storage:	Store at low temperature,Keep away from direct sunlight Powder: -20°C for 3 years | In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.

Biological Description

Description	PCLX-001 is a small-molecule compound that acts as an orally active inhibitor of N-myristoyltransferase (NMT), specifically targeting NMT1 and NMT2 with IC50 values of 5 nM and 8 nM, respectively. This compound demonstrates anti-tumor effects and effectively inhibits the early signaling of B-cell receptor (BCR). Consequently, PCLX-001 is a valuable tool for researching B-cell malignancies [1] [2].
Targets(IC50)	Others
In vitro	We discovered a marked sensitivity of hematological cancer cell lines, including B-cell lymphomas, to the potent pan-NMT inhibitor PCLX-001. PCLX-001 treatment impacts the global myristoylation of lymphoma cell proteins and inhibits early B-cell receptor (BCR) signaling events critical for survival. In addition to abrogating myristoylation of Src family kinases, PCLX-001 also promotes their degradation and, unexpectedly, that of numerous non-myristoylated BCR effectors including c-Myc, NFκB and P-ERK, leading to cancer cell death in vitro and in xenograft models.[2]
In vivo	Treatment of cultured breast cancer cells with PCLX-001 reduced cell viability in vitro. Daily oral administration of PCLX-001 to immunodeficient mice bearing human MDA-MB-231 breast cancer xenografts produced significant dose-dependent tumor growth inhibition in vivo.[4]

Solubility Information

Solubility	DMSO: 15 mg/mL (27.91 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Saline: < 1.5 mg/mL (2.79 mM),Lower concentrations may be soluble, but exact solubility limit is unknown. 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1.5 mg/mL (2.79 mM),Solution. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8604 mL	9.3022 mL	18.6043 mL
5 mM	0.3721 mL	1.8604 mL	3.7209 mL
10 mM	0.186 mL	0.9302 mL	1.8604 mL
50 mM	0.0372 mL	0.186 mL	0.3721 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Weickert M, et al. Initial characterization and toxicology of an nmt inhibitor in development for hematologic malignancies. Blood. 2019;134: 3362.

Beauchamp E, et al. Targeting N-myristoylation for therapy of B-cell lymphomas. Nat Commun. 2020;11(1):5348.

Sangha R, et al. Novel, First-in-Human, Oral PCLX-001 Treatment in a Patient with Relapsed Diffuse Large B-Cell Lymphoma. Curr Oncol. 2022;29(3):1939-1946.

Mackey JR, et al. N-myristoyltransferase proteins in breast cancer: prognostic relevance and validation as a new drug target. Breast Cancer Res Treat. 2021;186(1):79-87.

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