Limantrafin

Cat. No.: HY-135145

CAS No.: 218457-67-1

Molecular Formula: $C_{15}H_{18}N_2O$

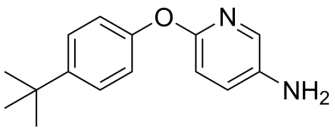
Molecular Weight: 242.32

Target: Notch

Pathway: Neuronal Signaling; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (412.68 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.1268 mL	20.6339 mL	41.2677 mL
	5 mM	0.8254 mL	4.1268 mL	8.2535 mL
	10 mM	0.4127 mL	2.0634 mL	4.1268 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (10.32 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (10.32 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (8.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Limantrafin (CB-103) is a first-in-class, orally active protein-protein interaction (PPI) inhibitor of the NOTCH transcriptional activation complex. Limantrafin has anti-tumor activity[1][2][3][4].

IC_{50} & Target

notch signaling pathway[1]

In Vitro

Limantrafin acts as a pan-NOTCH inhibitor by targeting NOTCH transcriptional activation complex[2].
Limantrafin can block NOTCH signaling in human T cell acute lymphoblastic leukemia cancer cell lines[2].

Limantrafin exhibits anti-tumor efficacy in GSI resistant T-ALL cell lines[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[1]

Cell Line:	RPMI 8402, KOPTK1, PANC1, nRas driven melanoma cells
Concentration:	10 μM
Incubation Time:	4 days, 6 days
Result:	Caused a significant reduction in their growth potential.

In Vivo

Limantrafin inhibits NOTCH dependent cellular processes in mice[2].

Limantrafin blocks in vivo growth of PDX models of T-ALL[2].

Limantrafin (25 mg/kg; i.p./p.o.; 2x daily; for 2 weeks) inhibits growth of GSI/Mab resistant triple negative breast cancer[3].

Limantrafin exhibits anti-tumor activity in xenograft models of human T-ALL and mouse mammary tumors[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NSG mice, triple negative breast cancer mouse xenograft model[3]
Dosage:	25 mg/kg
Administration:	Oral administration/Intraperitoneal injection; 2x daily; for 2 weeks
Result:	Inhibited growth of GSI/Mab resistant triple negative breast cancer.

CUSTOMER VALIDATION

- Nat Biotechnol. 2022 Nov 24.
- Oncogene. 2023 Jul 11.
- University of Zagreb. 2023 Jul 19.
- Research Square Print. 2022 May.
- Research Square Preprint. 2021 Jun.

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REFERENCES

[1]. Freddy Radtke, et al. Inhibitors of notch signalling pathway and use thereof in treatment of cancers. US9296682B2.

[2]. R.Lehal, et al. Development of a novel first-in-class oral inhibitor of the NOTCH pathway.

[3]. Rajwinder Lehal, et al. Non clinical pharmacology, pharmacokinetics and safety profiling of CB-103: A novel first-in-class small molecule inhibitor of the NOTCH pathway.

[4]. Jose Manuel Perez Garcia, et al. First-in-human phase 1-2A study of CB-103, an oral Protein-Protein Interaction Inhibitor targeting pan-NOTCH signalling in advanced solid tumors and blood malignancies.

Caution: Product has not been fully validated for medical applications. For research use only.

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