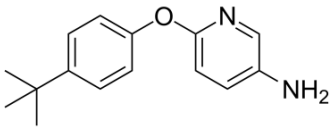
CB-103

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 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (**1031.69 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 >> 40% PEG300 >> 5% Tween-80 >> 45% saline**
   Solubility: ≥ 2.08 mg/mL (8.58 mM); Clear solution
2. Add each solvent one by one: **10% DMSO >> 90% (20% SBE-β-CD in saline)**
   Solubility: ≥ 2.08 mg/mL (8.58 mM); Clear solution
3. Add each solvent one by one: **10% DMSO >> 90% corn oil**
   Solubility: ≥ 2.08 mg/mL (8.58 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CB-103 is a first-in-class orally active **notch signaling pathway** inhibitor extracted from patent US9296682B2. CB-103 has anti-tumor activity[1][2][3].

IC_{50} & Target

notch signaling pathway[1]

In Vitro

CB-103 acts as a Pan-NOTCH inhibitor by targeting NOTCH transcriptional activation complex[2].

CB-103 has shown the ability to block NOTCH signaling in human T cell acute lymphoblastic leukemia cancer cell lines[2].
CB-103 exhibits anti-tumor efficacy in GSI resistant T-ALL cell lines[2].

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

CB-103 inhibits NOTCH dependent cellular processes in mice[2].
CB-103 blocks in vivo growth of PDX models of T-ALL[2].
CB-103 (25 mg/kg; i.p./p.o.; 2x daily; for 2 weeks) inhibits growth of GSI/Mab resistant triple negative breast cancer[3].
CB-103 exhibits anti-tumor activity in xenograft models of human T-ALL and mouse mammary tumors[3].

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.

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.

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