

# **Data Sheet**

Product Name: Limantrafin

Cat. No.: CS-0109594

CAS No.: 218457-67-1

Molecular Formula: C<sub>15</sub>H<sub>18</sub>N<sub>2</sub>O

Molecular Weight: 242.32

Target: Notch

Pathway:Neuronal Signaling; Stem Cell/WntSolubility:DMSO : 100 mg/mL (ultrasonic)

## **BIOLOGICAL ACTIVITY:**

Limantrafin is a first-in-class, orally active **protein-protein interaction (PPI)** inhibitor of the **NOTCH** transcriptional activation complex. Limantrafin has anti-tumor activity<sup>[1][2][3][4]</sup>. IC50 & Target:notch signaling pathway<sup>[1]</sup> *In Vitro:* Limantrafin acts as a pan-NOTCH inhibitor by targeting NOTCH transcriptional activation complex<sup>[2]</sup>.

Limantrafin can block NOTCH signaling in human T cell acute lymphoblastic leukemia cancer cell lines<sup>[2]</sup>.

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

In Vivo: Limantrafin inhibits NOTCH dependent cellular processes in mice<sup>[2]</sup>.

Limantrafin blocks in vivo growth of PDX models of T-ALL<sup>[2]</sup>.

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

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

# 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.

#### **CAIndexNames:**

3-Pyridinamine, 6-[4-(1,1-dimethylethyl)phenoxy]-

## SMILES:

 $\mathsf{NC1} \! = \! \mathsf{CC} \! = \! \mathsf{C}(\mathsf{OC2} \! = \! \mathsf{CC} \! = \! \mathsf{C}(\mathsf{C}(\mathsf{C})(\mathsf{C})\mathsf{C})\mathsf{C} \! = \! \mathsf{C2})\mathsf{N} \! = \! \mathsf{C1}$ 

Page 1 of 2 www.ChemScene.com

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

Tel: 610-426-3128 Fax: 888-484-5008 E-mail: sales@ChemScene.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA

Page 2 of 2 www.ChemScene.com