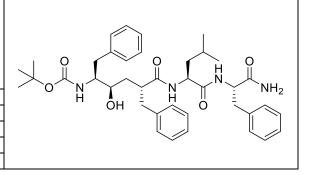
# **Product data sheet**



MedKoo Cat#: 500910				
Name: L685458				
CAS: 292632-98-5				
Chemical Formula: C <sub>39</sub> H <sub>52</sub> N <sub>4</sub> O <sub>6</sub>				
Exact Mass: 672.3887				
Molecular Weight: 672.867				
Product supplied as:	Powder			
Purity (by HPLC):	≥ 98%			
Shipping conditions	Ambient temperature			
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.			
	In solvent: -80°C 3 months; -20°C 2 weeks.			



## 1. Product description:

L685458 is a potent and selective  $\gamma$ -secretase inhibitor (IC50 = 17 nM) that displays > 50-fold selectivity over a range of aspartyl, serine and cysteine proteases. L685458 also exhibits equal potency for inhibition of A  $\beta$  40 and A  $\beta$  42 peptides (IC50 values are 48 and 67 nM respectively in human neuroblastoma cells). Also regulates CXCR4 and VEGFR2 expression through inhibition of Notch signaling in vitro.

## 2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

#### 3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMF	25.0	37.15
DMSO	55.85	83.0

## 4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.49 mL	7.43 mL	14.86 mL
5 mM	0.30 mL	1.49 mL	2.97 mL
10 mM	0.15 mL	0.74 mL	1.49 mL
50 mM	0.03 mL	0.15 mL	0.30 mL

## 5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

#### **6. Recommended literature which reported protocols for in vitro and in vivo study** In vitro study

1. Williams CK, Segarra M, Sierra Mde L, Sainson RC, Tosato G, Harris AL. Regulation of CXCR4 by the Notch ligand delta-like 4 in endothelial cells. Cancer Res. 2008 Mar 15;68(6):1889-95. doi: 10.1158/0008-5472.CAN-07-2181. PMID: 18339870.

2. Yao J, Duan L, Fan M, Wu X. Gamma-secretase inhibitors exerts antitumor activity via down-regulation of Notch and Nuclear factor kappa B in human tongue carcinoma cells. Oral Dis. 2007 Nov;13(6):555-63. doi: 10.1111/j.1601-0825.2006.01334.x. PMID: 17944672.

In vivo study

TBD

## 7. Bioactivity

Biological target:

L-685458 is a potent transition state analog (TSA)  $\gamma$ -secretase inhibitor (GSI).

# **Product data sheet**



In vitro activity

The gamma-secretase inhibitor L-685,458 significantly reconstituted CXCR4 mRNA in rhDLL4-stimulated endothelial cells.

Reference: Cancer Res. 2008 Mar 15;68(6):1889-95. https://pubmed.ncbi.nlm.nih.gov/18339870/

#### In vivo activity

TBD

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.