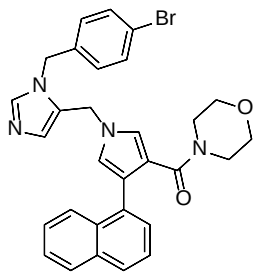
Product data sheet

MedKoo Cat#: 406418 Name: LB42708 CAS: 226929-39-1 Chemical Formula: $C_{30}H_{27}BrN_4O_2$ Exact Mass: 554.1317 Molecular Weight: 555.476	
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:
LB42708 is a potent, orally active and selective nonpeptidic farnesyltransferase inhibitor (FTase inhibitor). LB42708 inhibited VEGF-induced Ras activation and subsequently suppressed angiogenesis in vitro and in vivo by blocking the mitogen-activated protein kinase kinase/extracellular signal-regulated kinase/p38 mitogen-activated protein kinase (MAPK) and phosphatidylinositol 3-kinase (PI3K)/Akt/endothelial nitric-oxide synthase pathways in endothelial cells without altering FAK/Src activation.

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	30.0	54.01
DMSO	65.0	117.02
Ethanol	58.0	104.41
Ethanol:PBS (pH 7.2) (1:5)	0.1	0.18

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.80 mL	9.00 mL	18.00 mL
5 mM	0.36 mL	1.80 mL	3.60 mL
10 mM	0.18 mL	0.90 mL	1.80 mL
50 mM	0.04 mL	0.18 mL	0.36 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. Kim CK, Choi YK, Lee H, Ha KS, Won MH, Kwon YG, Kim YM. The farnesyltransferase inhibitor LB42708 suppresses vascular endothelial growth factor-induced angiogenesis by inhibiting ras-dependent mitogen-activated protein kinase and phosphatidylinositol 3-kinase/Akt signal pathways. Mol Pharmacol. 2010 Jul;78(1):142-50. doi: 10.1124/mol.110.063586. Epub 2010 Apr 20. PMID: 20406854.
2. Kim HS, Kim JW, Gang J, Wen J, Koh SS, Koh JS, Chung HH, Song SY. The farnesyltransferase inhibitor, LB42708, inhibits growth and induces apoptosis irreversibly in H-ras and K-ras-transformed rat intestinal epithelial cells. Toxicol Appl Pharmacol. 2006 Sep 15;215(3):317-29. doi: 10.1016/j.taap.2006.03.011. Epub 2006 May 19. PMID: 16712893.

In vivo study

1. Kim CK, Choi YK, Lee H, Ha KS, Won MH, Kwon YG, Kim YM. The farnesyltransferase inhibitor LB42708 suppresses vascular endothelial growth factor-induced angiogenesis by inhibiting ras-dependent mitogen-activated protein kinase and phosphatidylinositol

3-kinase/Akt signal pathways. Mol Pharmacol. 2010 Jul;78(1):142-50. doi: 10.1124/mol.110.063586. Epub 2010 Apr 20. PMID: 20406854.
2. Na HJ, Lee SJ, Kang YC, Cho YL, Nam WD, Kim PK, Ha KS, Chung HT, Lee H, Kwon YG, Koh JS, Kim YM. Inhibition of farnesyltransferase prevents collagen-induced arthritis by down-regulation of inflammatory gene expression through suppression of p21(ras)-dependent NF-kappaB activation. J Immunol. 2004 Jul 15;173(2):1276-83. doi: 10.4049/jimmunol.173.2.1276. PMID: 15240720.

7. Bioactivity

Biological target:

LB42708 is a potent, selective and orally active farnesyltransferase inhibitor.

In vitro activity

Only LB7 induced the upregulation of p21(CIP1/WAF1) and RhoB above the basal level that led to the cell cycle arrest and to distinct morphological alterations of ras-transformed RIE cells. Both FTIs successfully inhibited the ERK and activated JNK in RIE/K-ras cells.

Reference: Toxicol Appl Pharmacol. 2006 Sep 15;215(3):317-29. https://pubmed.ncbi.nlm.nih.gov/16712893/

In vivo activity

LB42708 suppressed tumor growth and tumor angiogenesis in both xenograft tumor models of Ras-mutated HCT116 cells and its wild-type Caco-2 cells, indicating its potential application in the treatment of both Ras-mutated and wild type tumors.

Reference: Mol Pharmacol. 2010 Jul;78(1):142-50. https://pubmed.ncbi.nlm.nih.gov/20406854/

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.