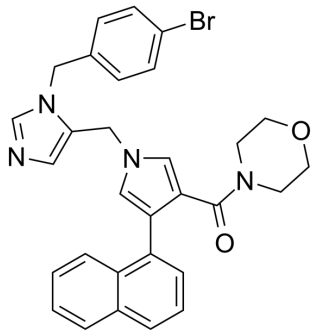
LB42708

Cat. No.:	HY-15879
CAS No.:	226929-39-1
Molecular Formula:	$C_{30}H_{27}BrN_4O_2$
Molecular Weight:	555.47
Target:	Farnesyl Transferase; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (180.03 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8003 mL	9.0014 mL	18.0028 mL
	5 mM	0.3601 mL	1.8003 mL	3.6006 mL
	10 mM	0.1800 mL	0.9001 mL	1.8003 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: ≥ 2.5 mg/mL (4.50 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (4.50 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.50 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LB42708 is a potent, selective and orally active farnesyltransferase inhibitor. LB42708 inhibits farnesylation of H-Ras, N-Ras and K-Ras4B with IC_{50}s of 0.8 nM, 1.2 nM and 2.0 nM, respectively[1].

In Vitro

LB42708 induces cell death despite K-ras prenylation. Growth inhibition by LB42708 is accompanied by G1 and G2/M cell cycle arrests in H-ras and K-ras-transformed RIE cells, respectively. LB42708 induces the upregulation of p21(CIP1/WAF1) and RhoB above the basal level that leads to the cell cycle arrest and to distinct morphological alterations of ras-transformed rat intestinal epithelial (RIE) cells[2].

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

REFERENCES

[1]. Hee-Jun Na, et al. 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.

[2]. Han-Soo Kim, et al. 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.

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

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