

Certificate of Analysis

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Product Name: LB 42708

Catalog No.: 4294

Batch No.: 2

CAS Number: 226929-39-1

IUPAC Name: [1-[[1-[(4-Bromophenyl)methyl]-1H-imidazol-5-yl]methyl]-4-(1-naphthalenyl)-1H-pyrrol-3-yl]-4-morpholinylmethanone

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₃₀H₂₇BrN₄O₂·1½H₂O

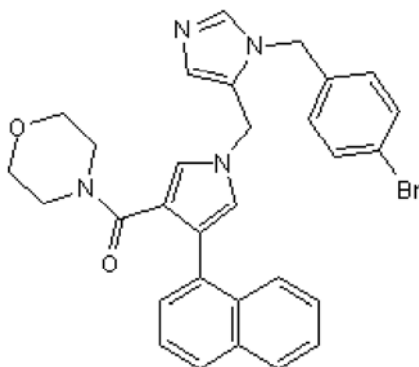
Batch Molecular Weight: 582.48

Physical Appearance: Off-white solid

Solubility: DMSO to 100 mM
ethanol to 100 mM

Storage: Desiccate at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.2 (Chloroform:Methanol [9:1])

HPLC: Shows 99.1% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

Carbon Hydrogen Nitrogen

Theoretical 61.86 5.19 9.62

Found 61.81 5.01 9.71

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Selective inhibitor of farnesyltransferase (FTase). Blocks farnesylation of H-Ras, N-Ras and K-Ras4B (IC₅₀ values are 0.8, 1.2 and 2.0 nM respectively). Displays >50,000-fold selectivity for FTase over geranylgeranyltransferase (GGTase) I. Inhibits VEGF-induced Ras activation; suppresses angiogenesis in vivo and in vitro (IC₅₀ = 75 nM). Orally active.

Physical and Chemical Properties:

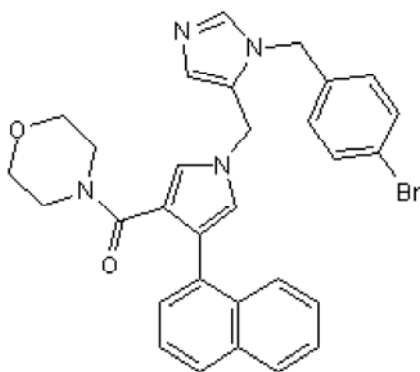
Batch Molecular Formula: C₃₀H₂₇BrN₄O₂·1½H₂O

Batch Molecular Weight: 582.48

Physical Appearance: Off-white solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Desiccate at RT

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Kim et al (2010) 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.* **78** 142. PMID: 20406854.

Na et al (2004) Inhibition of farnesyltransferase prevents collagen-induced arthritis by down-regulation of inflammatory gene expression through suppression of p21^{ras}-dependent NF-κB activation. *J.Immunol.* **173** 1276. PMID: 15240720.

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