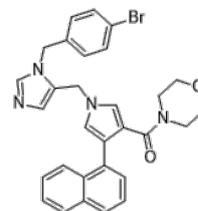


Product Name : LB42708
Cat. No. : PC-26365
CAS No. : 226929-39-1
Molecular Formula : C₃₀H₂₇BrN₄O₂
Molecular Weight : 555.48
Target : Farnesyl transferase (FTase)
Solubility : 10 mM in DMSO



Biological Activity

LB42708 (LB-42708) is a potent, selective and orally active farnesyltransferase (FTase) inhibitor, inhibits farnesylation of H-Ras, N-Ras and K-Ras4B with IC₅₀ values of 0.8 nM, 1.2 nM and 2.0 nM, respectively, inhibits p21(ras)-dependent NF-kappaB activation.

LB42708 suppressed NF-kappaB activation and iNOS promoter activity by suppressing the I-kappaB kinase activity and I-kappaBalpha degradation.

LB42708 significantly decreased the incidence and severity of arthritis as well as mRNA expression of inducible NO synthase, cyclooxygenase-2, TNF-alpha, and IL-1beta in the paws of collagen-induced arthritic mice.

LB42708 inhibited VEGF-induced Ras activation and subsequently suppressed angiogenesis in vitro and in vivo by blocking the mitogen-activated protein 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.

LB42708 suppressed tumor growth and tumor angiogenesis in both xenograft tumor models of Ras-mutated HCT116 cells and its wild-type Caco-2 cells.

References

Hee-Jun Na, et al. J Immunol. 2004 Jul 15;173(2):1276-83.

Kim CK, et al. Mol Pharmacol. 2010 Jul;78(1):142-50.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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