

Product Data Sheet

Product Name: LB42708

Cat. No.: GC10170

Chemical Properties

Cas. No. 226929-39-1

SMILES C1COCCN1C(=O)C2=CN(C=C2C3=CC=CC4=CC=CC=C43)CC5=CN=CN5CC6=CC=C(C=C6)Br

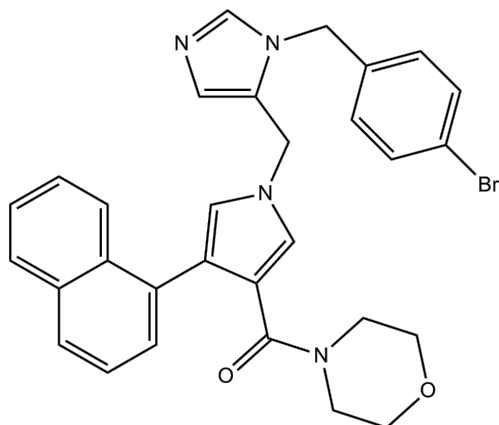
Formula $C_{30}H_{27}BrN_4O_2$ M.Wt 555.46

Solubility $\geq 22.75\text{mg/mL}$ in DMSO Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37°C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

LB42708 is a selective inhibitor of farnesyltransferase (FTase) with IC_{50} value of 0.8nM [1].

LB42708 is a nonpeptide pyrrole-based FTase inhibitor with high potency and selectivity. It inhibits the farnesylation of H-ras, N-ras and K-ras4B in vitro with IC_{50} values of 0.8nM , 1.2nM and 2nM , respectively. To the related enzyme geranylgeranyltransferase I, LB42708 shows no significant inhibition with IC_{50} value of $100\mu\text{M}$. In cultured RAW264.7 cell line, LB42708 potently reduces the farnesylated p21ras stimulated by LPS and IFN- γ with IC_{50} value of $\sim 10\text{nM}$. Besides that, the

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

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HUVEC cells treated with LB42708 shows inhibition of cell proliferation and migration induced by VEGF. LB42708 inhibits the VEGF-induced DNA synthesis with IC₅₀ value of 75nM and also inhibits VEGF-induced formation of the GTP-bound form of Ras with IC₅₀ values of 50nM. In addition, it affects the tube-like structure formation. Furthermore, the administration of LB42708 can suppress tumor growth both in Ras-mutated HCT116 and wild-type Caco-2 xenograft models [1, 2].

References:

- [1] Na H J, Lee S J, Kang Y C, et al. Inhibition of farnesyltransferase prevents collagen-induced arthritis by down-regulation of inflammatory gene expression through suppression of p21ras-dependent NF- κ B activation. The Journal of Immunology, 2004, 173(2): 1276-1283.
- [2] Kim C K, Choi Y K, Lee H, et al. 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. Molecular pharmacology, 2010, 78(1): 142-150.

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