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	≥ 22.75mg/mL in DMSO	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	LB42708		

Background

LB42708 is a selective inhibitor of farnesyltransferase (FTase) with IC50 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 IC50 values of 0.8nM, 1.2nM and 2nM, respectively. To the related enzyme geranylgeranyltransferase I, LB42708 shows no significant inhibition with IC50 value of 100μM. In cultured RAW264.7 cell line, LB42708 potently reduces the farnesylated p21ras stimulated by LPS and IFN-γ with IC50 value of ~ 10nM. Besides that, the 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-κB 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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