Web: www.taiclone.com
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Lonafarnib

Catalog No: tcsc0792

Available Sizes

Size: 5mg

Size: 10mg

Specifications

CAS No:
193275-84-2

Formula:
$C_{27}H_{31}Br_2ClN_4O_2$

Pathway:
Autophagy;Metabolic Enzyme/Protease

Target:
Autophagy;Farnesyl Transferase

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
Sch66336

Observed Molecular Weight:
638.82

Product Description

Lonafarnib is an orally bioavailable farnesyl protein transferase (**FPTase**) inhibitor for H-ras, K-ras and N-ras with **IC_{50}** of 1.9 nM, 5.2

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nM and 2.8 nM, respectively.

IC50 & Target: IC50: 1.9 nM (H-ras), 5.2 nM (K-ras), 2.8 nM (N-ras)[1]

In Vitro: Lonafarnib (Sch66336) potently inhibits Ha-Ras processing in whole cells and blocks the trans formed growth properties of fibroblasts and human tumor cell lines expressing activated Ki-Ras proteins[1]. All treatment groups containing Lonafarnib (10 μM) show a significantly higher level of unfarnesylated H-Ras (116-137%) compared to control treatment[2].

In Vivo: In mouse, rat, and monkey systems, Lonafarnib (Sch66336) has excellent oral bioavailability and pharmacokinetic properties. In the nude mouse, Lonafarnib demonstrates potent oral activity in a wide array of human tumor xenograft models including tumors of colon, lung, pancreas, prostate, and urinary bladder origin[1]. Lonafarnib alone (80 mg/kg by oral gavage, once daily) has limited ability to inhibit orthotopic U87 tumors compared to vehicle treated control animals (T/C of 0.67). The combination of XRT/Tem (2.5Gy/day for 2 days; 5 mg/kg by oral gavage 90 min prior to XRT) is designed to produce modest tumor growth inhibition in vivo(T/C of 0.42). Concurrent Lonafarnib/XRT/Tem (Lonafarnib 80 mg/kg by oral gavage, once daily, XRT 2.5Gy/day for 2 days, and Tem 5 mg/kg by oral gavage 90 min prior to XRT) provides the strongest growth reduction (T/C of 0.02) and is significantly more effective than XRT/Tem (p[2].