

# 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

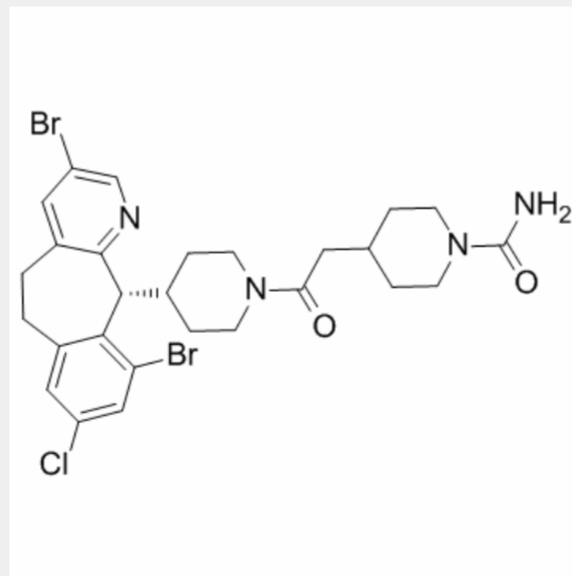
Lonafarnib is an orally bioavailable farnesyl protein transferase (**FPTase**) inhibitor for H-ras, K-ras and N-ras with **IC<sub>50</sub>** of 1.9 nM, 5.2

nM and 2.8 nM, respectively.

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

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

**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<sup>[1]</sup>. 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]).



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