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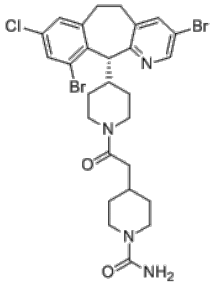
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Lonafarnib
Cat. No.	:	PC-21769
CAS No.	:	193275-84-2
Molecular Formula	:	$C_{27}H_{31}Br_2ClN_4O_2$
Molecular Weight	:	638.83
Target	:	Farnesyl transferase (FTase)
Solubility	:	10 mM in DMSO



Biological Activity

Lonafarnib (SCH 66336) is a potent, orally bioavailable farnesyl protein transferase (FTase, farnesyltransferase) inhibitor with IC50 of 1.9 nM for H-Ras processing in whole cells.
Lonafarnib (SCH 66336) inhibits K-ras and N-ras with IC50 of 5.2 nM and 2.8 nM, respectively. blocks the trans formed growth properties of fibroblasts and human tumor cell lines expressing activated Ki-Ras proteins.
Lonafarnib (SCH 66336) inhibits tumor growth in nude mice treated with cells that contained either K-ras mutations (MIA PACA-2, human pancreatic cancer, and HCT-116, human colon cancer) or H-ras mutations (transformed mouse fibroblast, NIH3T3-CVLS), in a dose-dependent manner.
Lonafarnib (SCH 66336) exhibits good oral pharmacokinetics in monkeys and showed good oral efficacy as an antitumor agent in mice.

References

Liu M, et al. Cancer Res. 1998 Nov 1;58(21):4947-56.

Njoroge FG, et al. J Med Chem. 1998 Nov 19;41(24):4890-902.

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