CP-609754

Cat. No.: HY-16373

CAS No.: 1190094-64-4

Molecular Formula: $C_{29}H_{22}ClN_3O_2$

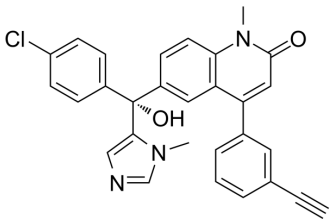
Molecular Weight: 479.96

Target: Farnesyl Transferase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (208.35 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0835 mL	10.4175 mL	20.8351 mL
	5 mM	0.4167 mL	2.0835 mL	4.1670 mL
	10 mM	0.2084 mL	1.0418 mL	2.0835 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.21 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.21 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CP-609754 (LNK-754) is a potent and reversible farnesyltransferase inhibitor with potential anticancer activity.The IC_{50} for inhibiting farnesylation of recombinant human H-Ras is 0.57 ng/mL and recombinant K-Ras is 46 ng/mL[1].

In Vitro

CP-609754 (CP-609,754) is a reversible inhibitor of farnesyltransferase with a slow on/off rate. CP-609,754 inhibits farnesylation (IC_{50}=1.72 ng/mL) of mutant H-Ras in 3T3 H-ras (61L)-transfected cell lines with SDS-PAGE analysis of [^{35}S]methionine-labeled material[1].

CP-609754 is competitive for the prenyl acceptor (H-Ras protein) and noncompetitive for the prenyl donor farnesyl PPI. CP-609754 interacts with the farnesyltransferase-farnesyl PPI complex and competes for the binding of the Ras protein. CP-609754 selectively inhibits farnesylation of both H- and K-Ras proteins in 3T3 transfectants[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	CP-609754 (CP-609,754) has antitumor activity against 3T3 H-ras (61L) tumors in vivo[1]. With twice daily oral dosing of CP-609754, tumor regression is achieved with a dose of 100 mg/kg; the ED_{50} for tumor growth inhibition is 28 mg/kg[1]. With continuous i.p. infusion of CP-609754, tumor growth is inhibited by >50%, and tumor farnesyltransferase activity inhibited by >30% in mice in which the plasma concentration of CP-609754 is maintained above 118 ng/mL[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Stacy L Moulder, et al. A phase I open label study of the farnesyltransferase inhibitor CP-609,754 in patients with advanced malignant tumors. Clin Cancer Res. 2004 Nov 1;10(21):7127-35.

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