# CP-609754

**Cat. No.:** HY-16373

**CAS No.:** 1190094-64-4

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

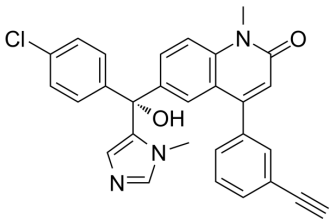
**Molecular Weight:** 479.96

**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 | Mass / Solvent / 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].<br>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].<br>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].<br>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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