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## Product Data Sheet

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Product Name: CP-609754

Cat. No.: GC62276

### Chemical Properties

Cas. No. 1190094-64-4

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

M.Wt 479.96

Solubility DMSO : 100 mg/mL (208.35 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

### Background

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

CP-609754 (CP-609,754) is a reversible inhibitor of farnesyltransferase with a slow on/off rate. CP-609,754 inhibits farnesylation (IC<sub>50</sub>=1.72 ng/mL) of mutant H-Ras in 3T3 H-ras (61L)-transfected cell lines with SDS-PAGE analysis of [<sup>35</sup>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].

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<sub>50</sub> 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

**Caution: Product has not been fully validated for medical applications. For research use only.**

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concentration of CP-609754 is maintained above 118 ng/mL[1].

[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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