

# Linsitinib

**Catalog No: tcsc0242**



## Available Sizes

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**Size:** 5mg

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg



## Specifications

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**CAS No:**

867160-71-2

**Formula:**

$C_{26}H_{23}N_5O$

**Pathway:**

Protein Tyrosine Kinase/RTK;Protein Tyrosine Kinase/RTK

**Target:**

IGF-1R;Insulin Receptor

**Purity / Grade:**

>98%

**Solubility:**

DMSO : 62.5 mg/mL (148.28 mM; Need ultrasonic)

**Alternative Names:**

OSI-906

**Observed Molecular Weight:**

421.49

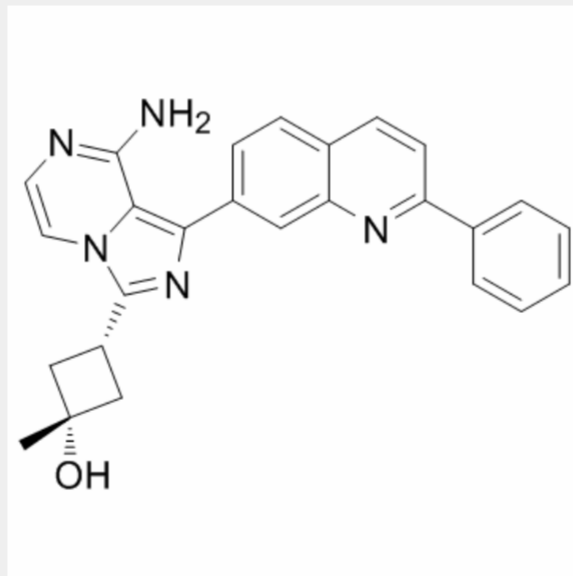
## Product Description

Linsitinib is a selective inhibitor of **IGF-1R** with **IC<sub>50</sub>** of 35 nM, and modestly potent to **InsR** with **IC<sub>50</sub>** of 75 nM, and has no activity towards Abl, ALK, BTK, EGFR, FGFR1/2, PKA etc.

IC50 & Target: IC50: 35 nM (IGF-1R), 75 nM (InsR)

**In Vitro:** Linsitinib inhibits IGF-1R autophosphorylation and activation of the downstream signaling proteins Akt, ERK1/2 and S6 kinase with IC<sub>50</sub> of 0.028 to 0.13 μM. Linsitinib enables an intermediate conformation of the target protein through interactions with the C-helix. Linsitinib displays favorable metabolic stability in liver microsomes. Linsitinib fully inhibits both IR and IGF-1R phosphorylation at a concentration of 1 μM. Linsitinib inhibits proliferation of several tumor cell lines including non-small-cell lung cancer and colorectal cancer (CRC) tumor cell line with EC<sub>50</sub> of 0.021 to 0.810 μM<sup>[1]</sup>.

**In Vivo:** Linsitinib inhibits tumor growth in an IGF-1R-driven xenograft mouse model, with 100% TGI and 55% regression at a dose of 75 mg/kg and 60% TGI and no regression at a dose of 25 mg/kg. Linsitinib administration induces different elimination half-lives of itself in dog, rat and mice, the elimination half-lives are 1.18 hours, 2.64 hours and 2.14 hours, respectively. Linsitinib administration at different single dose once-daily in femal Sprague-Dawley rat and femal CD-1 mouse reveal that the Vmax is not dose-proportional to Linsitinib dose. Linsitinib elevates the blood glucose levels at a dose of 25 mg/kg after 12 days administration. Linsitinib administration at a single dose of 75 mg/kg in IGF-1R-driven full-length human IGF-1R (LISN) xenograft mouse model achieve maximal inhibition of IGF-1R phosphorylation (80%) between 4 and 24 hours with plasma drug concentrations of 26.6-4.77 μM<sup>[1]</sup>. Linsitinib administered as a single dose of at 60 mg/kg in NCI-H292 xenografts mice inhibits uptake of glucose at 2, 4, and 24 hours post-treatment in vivo. Linsitinib inhibits the growth of tumors in NCI-H292 xenograft mouse model<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!