

Product Name: Linsitinib

Catalog No.: 7652

Batch No.: 1

CAS Number: 867160-71-2

IUPAC Name: *cis*-3-[8-Amino-1-(2-phenyl-7-quinolinyl)imidazo[1,5-a]pyrazin-3-yl]-1-methylcyclobutanol

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₆H₂₃N₅O.³/₄H₂O

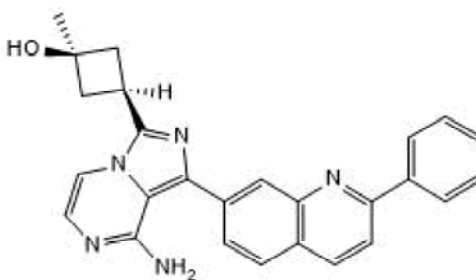
Batch Molecular Weight: 435

Physical Appearance: Pale yellow solid

Solubility: DMSO to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.3% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	71.79	5.68	16.1
Found	71.38	5.71	16.03

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Linsitinib is a potent and selective dual inhibitor of the IGF-1 receptor ($IC_{50} = 35$ nM) and insulin receptor ($IC_{50} = 75$ nM); it inhibits ligand-dependent auto-phosphorylation of both receptor tyrosine kinases and prevents ligand-induced activation of downstream pathways including pAKT, pERK1/2 and p-p70S6K. The compound is selective for IGF-1R, IR and IRR in a panel of 88 kinases. Linsitinib inhibits proliferation in a variety of tumor cell lines and shows robust *in vivo* antitumor efficacy in an IGF-1R-driven LSN xenograft model. Orally bioavailable.

Physical and Chemical Properties:

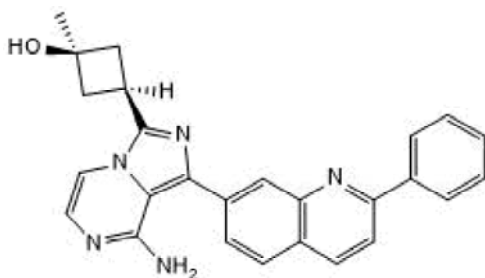
Batch Molecular Formula: $C_{26}H_{23}N_5O \cdot \frac{3}{4}H_2O$

Batch Molecular Weight: 435

Physical Appearance: Pale yellow solid

Minimum Purity: $\geq 98\%$

Batch Molecular Structure:



References:

Mulvihill *et al* (2009) Discovery of OSI-906: a selective and orally efficacious dual inhibitor of the IGF-1 receptor and insulin receptor. *Future Med.Chem.* **1** 1153. PMID: 21425998.

Storage: Store at $-20^{\circ}C$

Solubility & Usage Info:

DMSO to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a $45-60^{\circ}C$ water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at $-20^{\circ}C$ or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

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