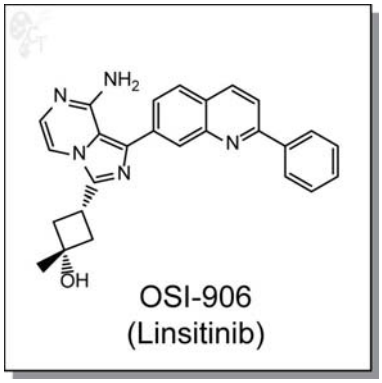
Product Specification Sheet

Product Name: OSI-906 (Linsitinib)

Catalog Number: C6906



Technical information:

Chemical Formula:	$C_{26}H_{23}N_5O$
CAS #:	867160-71-2
Molecular Weight:	421.49
Purity:	> 98%
Appearance:	Yellow solid
Solubility:	Soluble in DMSO up to 100 mM
Chemical Name:	(1s,3s)-3-(8-amino-1-(2-phenylquinolin-7-yl)imidazo[1,5-a]pyrazin-3-yl)-1-methylcyclobutanol
Storage:	Store solid powder at 4°C desiccated; Store DMSO solution at -20°C.
Shelf Life:	In the unopened package, powder is stable for 1 year and DMSO solution is stable for 6 months under proper storage condition.

Handling:

- To make 10 mM stock solution, add 0.237mL of DMSO for each mg of OSI-906 (Linsitinib)
- For DMSO solution, briefly spin the vial at 500 rpm in a 50 mL conical tube to ensure maximum sample recovery.

Biological Activity:

OSI-906 (Linsitinib) is an orally-available, ATP-competitive, imidazopyrazine-based dual inhibitor of IGF-R1 and IR with IC50 biochemical potency of 35 nM and 75 nM, respectively. [1] In vitro cell assays reveal that OSI-906 potently inhibits IGF-1R, pERK1/2, and p-70S6K at IC50s of 24, 28, and 60 nM, respectively. In HT-29 and Colo205 CRC cells, oSI-906 fully inhibits both IR and IGF-1R phosphorylation, with antiproliferative effects at EC50 of 210 nM and 320 nM, respectively.

Oral, in vivo efficacy studies (QDx12) of OSI-906 in a LISN xenograft model resulted in a dose-dependent effect on tumor growth inhibition with 100% TGI and 55% regression at 75 mg/kg, and 60% TGI at 25 mg/kg.

Reference:

1. Mulvihill et al., Discovery of OSI-906: a selective and orally efficacious dual inhibitor of the IGF-1 receptor and insulin receptor. Future Med. Chem. 2009, 1(6), 1153-1171. Pubmed ID: 21425998

To reorder: http://www.cellagentech.com/OSI-906-Linsitinib/

For Technical Support: technical@cellagentech.com

Chemicals are sold for research use only, not for clinical or diagnostic use.