

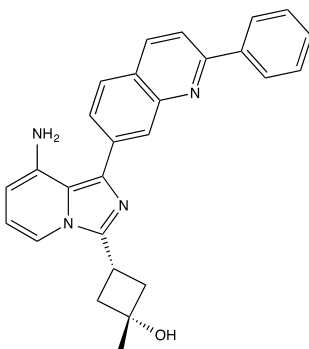
**Catalog # 10-4814**

**Linsitinib**

CAS# 867160-71-2

3-[8-Amino-1-(2-phenyl-7-quinoyl)imidazo[1,5-a]pyrazin-3-yl]-1-methyl-cyclobutanol; OSI-906

Lot # FBS2156



Linsitinib is a potent and selective dual inhibitor of insulin-like growth factor 1 (IGF-1R) kinase and insulin receptor (InsR) kinase (IC<sub>50</sub>'s = 35 and 75 nM respectively).<sup>1</sup> It has been investigated as a chemotherapeutic in combination with other drugs for various cancers.<sup>2-6</sup>

- 1) Mulvihill *et al.* (2009), *Discovery of OSI-906: a selective and orally efficacious inhibitor of the IGF-1 receptor and insulin receptor*; *Future Med.Chem.* **1** 1153
- 2) Bendell *et al.* (2015), *A phase Ib study of linsitinib (OSI-906), a dual inhibitor of IGF-1R and IR tyrosine kinase, in combination with everolimus as treatment for patients with refractory metastatic colorectal cancer*; *Invest.New Drugs* **33** 187
- 3) Pivonello *et al.* (2016), *The dual targeting of insulin and insulin-like growth factor 1 receptor enhances the mTOR inhibitor-mediated antitumor efficacy in hepatocellular carcinoma* ; *Oncotarget* **7** 9718
- 4) Lee *et al.* (2016), *Inhibition of IGF1R signaling abrogates resistance to afatinib (BIBW2992) in EGFR T790M mutant lung cancer cells*; *Mol.Carcinog.* **55** 991
- 5) Macaulay *et al.* (2016), *Phase I Dose-Escalation Study of Linsitinib (OSI-906) and Erlotinib in Patients with Advanced Solid Tumors*; *Clin.Cancer Res.* **22** 2897
- 6) De Lint *et al.* (2016), *Sensitizing Triple-Negative Breast Cancer to PI3K Inhibition by Cotargeting IGF1R*; *Mol.Cancer Ther.* **15** 1545

**PHYSICAL DATA**

Molecular Weight:	421.49
Molecular Formula:	C <sub>26</sub> H <sub>23</sub> N <sub>5</sub> O
Purity:	>98% by HPLC
	NMR: Conforms
Solubility:	DMSO (>30 mg/mL)
Physical Description:	Yellow solid
Storage and Stability:	Store as supplied at -20°C for up to 1 year from the date of purchase. Solutions in DMSO may be stored at -20°C for up to 2 months.

**Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.**