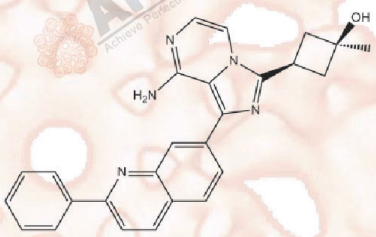
Product Data Sheet

Linsitinib

Cat. No.:	A8334
CAS No.:	867160-71-2
Formula:	C26H23N5O
M.Wt:	421.51
Synonyms:	OSI 906; OSI-906; OSI906
Target:	Tyrosine Kinase
Pathway:	Insulin Receptor
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

≥21.08 mg/mL in DMSO; insoluble in H2O; ≥2.88 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.3724 mL	11.8621 mL	23.7242 mL
5 mM	0.4745 mL	2.3724 mL	4.7448 mL
10 mM	0.2372 mL	1.1862 mL	2.3724 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	IGF1R/IR inhibitor,potent and novel
IC_{50} & Target	35 nM (IGF-1R), 75 nM (InsR)

In Vitro

Cell Viability Assay

Cell Line:	HepG2, Hep3B, Huh-7, PLC/PRF/5, SNU-387 and SNU-423 cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.
Reacting conditions:	3 μM, 20 hours

	Applications:	All 6HCCcell lines showed higher IR phosphorylation than IGF-1R, suggesting the significance of IR activity in HCC. Furthermore, all 3 HCC cell lines (HepG2, Hep3B, and HuH-7) that are sensitive to OSI-906 had much higher phosphorylation levels of both IGF-1R and IR than insensitive cell lines. This suggests that sensitivity to OSI-906 associates with activation of both IGF-1R and IR in HCC cell lines.
In Vivo	**Animal experiment**	
	Animal models:	Female athymic nude mice injected with NCI-H292 or NCI-H441 cells
	Dosage form:	Oral administration, 60 mg/kg
	Applications:	The NCI-H292 xenografts (sensitive to OSI-906 treatment) show a significant decrease ($p<0.05$) in 18FDG uptake at 2, 4 and 24 hours post dosing with OSI-906 compared to vehicle treated controls. NCI-H441 xenografts (insensitive to OSI-906 treatment) did not demonstrate a significant change in uptake of 18FDG at any time point evaluated. The decreased %ID/g in the NCI-H292 xenografts is suggestive of a rapid PD effect observed by 18FDG imaging mediated by the inhibition of IGF-1R and IR pathways by OSI-906. Conversely, for the NCI-H441 xenograft model no difference in uptake of the radiotracer was observed in the tumor samples between vehicle controls and the OSI-906 treatment group.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Sean ross,Rotwein. "Methods of selecting akt agonists or antagonists." US Patent App. 15/085,757, 2016.
2. Gross SM, Rotwein P. "Unraveling Growth Factor Signaling and Cell CycleProgression in Individual Fibroblasts." J Biol Chem. 2016 Jul 8;291(28):14628-38.PMID:27226630
3. Gross SM, Rotwein P. "Mapping growth-factor-modulated Akt signaling dynamics." JCell Sci. 2016 May 15;129(10):2052-63.PMID:27044757

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References

[1] Zhao H, Desai V, Wang J, et al. Epithelial–Mesenchymal Transition Predicts Sensitivity to the Dual IGF-1R/IR Inhibitor OSI-906 in Hepatocellular Carcinoma Cell Lines. Molecular cancer therapeutics, 2012, 11(2): 503-513.
[2] McKinley E T, Bugaj J E, Zhao P, et al. 18FDG-PET predicts pharmacodynamic response to OSI-906, a dual IGF-1R/IR inhibitor, in preclinical mouse models of lung cancer. Clinical Cancer Research, 2011, 17(10): 3332-3340.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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