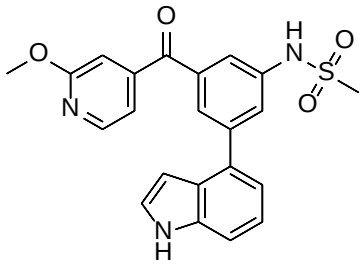
Product data sheet

MedKoo Cat#: 201761 Name: LP-261 CAS: 915412-67-8 Chemical Formula: $C_{22}H_{19}N_3O_4S$ Exact Mass: 421.1096 Molecular Weight: 421.471	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

LP-261 is a novel tubulin targeting anticancer agent that binds at the colchicine site on tubulin, inducing G2/M arrest. Screening in the NCI60 cancer cell lines resulted in a mean GI50 of approximately 100 nM. LP-261 is a very potent inhibitor of angiogenesis, preventing microvessel outgrowth in the rat aortic ring assay and HUVEC cell proliferation at nanomolar concentrations. Complete inhibition of tumor growth was achieved in the PC3 xenograft model and shown to be schedule dependent. Excellent inhibition of tumor growth in the SW620 model was observed, comparable with paclitaxel. Combining oral, low dose LP-261 with bevacizumab led to significantly improved tumor inhibition. Oral LP-261 is very effective at inhibiting tumor growth in multiple mouse xenograft models and is well tolerated. (source: Invest New Drugs. 2012 Feb;30(1):90-7. doi: 10.1007/s10637-010-9520-5. Epub 2010 Sep 7.)

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	33.33	79.08

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.37 mL	11.86 mL	23.73 mL
5 mM	0.47 mL	2.37 mL	4.75 mL
10 mM	0.24 mL	1.19 mL	2.37 mL
50 mM	0.05 mL	0.24 mL	0.47 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Gardner ER, Kelly M, Springman E, Lee KJ, Li H, Moore W, Figg WD. Antiangiogenic and antitumor activity of LP-261, a novel oral tubulin binding agent, alone and in combination with bevacizumab. Invest New Drugs. 2012 Feb;30(1):90-7. doi: 10.1007/s10637-010-9520-5. Epub 2010 Sep 7. PMID: 20820910; PMCID: PMC6446042.

In vivo study

1. Gardner ER, Kelly M, Springman E, Lee KJ, Li H, Moore W, Figg WD. Antiangiogenic and antitumor activity of LP-261, a novel oral tubulin binding agent, alone and in combination with bevacizumab. Invest New Drugs. 2012 Feb;30(1):90-7. doi: 10.1007/s10637-010-9520-5. Epub 2010 Sep 7. PMID: 20820910; PMCID: PMC6446042.
2. Shetty RS, Lee Y, Liu B, Husain A, Joseph RW, Lu Y, Nelson D, Mihelcic J, Chao W, Moffett KK, Schumacher A, Flubacher D, Stojanovic A, Bukhtiyarova M, Williams K, Lee KJ, Ochman AR, Saporito MS, Moore WR, Flynn GA, Dorsey BD, Springman EB, Fujimoto T, Kelly MJ. Synthesis and pharmacological evaluation of N-(3-(1H-indol-4-yl)-5-(2-

methoxyisonicotinoyl)phenyl)methanesulfonamide (LP-261), a potent antimitotic agent. J Med Chem. 2011 Jan 13;54(1):179-200. doi: 10.1021/jm100659v. Epub 2010 Dec 2. PMID: 21126027.

7. Bioactivity

Biological target:

LP-261 is a potent and orally active anti-mitotic agent and shows an inhibition of in vitro tubulin polymerization with an EC_{50} of 3.2 μM.

In vitro activity

Human umbilical vein endothelial cells (HUVECs) were incubated with LP-261 at 50 nM to 10 μM. LP-261 was found to inhibit HUVEC proliferation in a dose-dependent manner within the tested concentration range of 100 nM to 10 μM, Fig. 2a.

Reference: Invest New Drugs. 2012 Feb;30(1):90-7. https://pubmed.ncbi.nlm.nih.gov/20820910/

In vivo activity

An optimized lead LP-261 significantly inhibits growth of a human non-small-cell lung tumor (NCI-H522) in a mouse xenograft model.

Reference: J Med Chem. 2011 Jan 13;54(1):179-200. https://pubmed.ncbi.nlm.nih.gov/21126027/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.