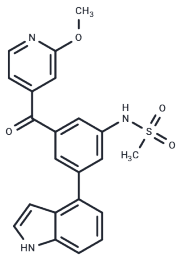
Data Sheet (Cat.No.T9595)

TargetMol

LP-261

Chemical Properties



CAS No. : 915412-67-8

Formula: C22H19N3O4S

Molecular Weight: 421.47

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	LP-261 is a novel tubulin targeting anticancer agent that binds at the colchicine site on tubulin, inducing G2/M arrest.
Targets(IC50)	Microtubule Associated
In vitro	LP-261 was tested as a single agent in colon adenocarcinoma (SW620) and prostate cancer (LNCaP and PC3) xenografts, evaluating several different dosing schedules. LP-261 was also used in combination with bevacizumab in the SW620 xenograft model. LP-261 also exhibited high oral bioavailability and apparent lack of efflux by intestinal transporters such as ABCB1. 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[1].
In vivo	LP-261 significantly inhibits growth of a human non-small-cell lung tumor (NCI-H522) in a mouse xenograft model[2].

Solubility Information

Solubility	DMSO: 22 mg/mL (52.2 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3726 mL	11.8632 mL	23.7265 mL
5 mM	0.4745 mL	2.3726 mL	4.7453 mL
10 mM	0.2373 mL	1.1863 mL	2.3726 mL
50 mM	0.0475 mL	0.2373 mL	0.4745 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Gardner ER, et al. 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.

Rupa S Shetty, et al. 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