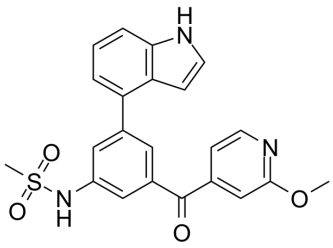
LP-261

Cat. No.:	HY-14389
CAS No.:	915412-67-8
Molecular Formula:	$C_{22}H_{19}N_3O_4S$
Molecular Weight:	421.47
Target:	Microtubule/Tubulin
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (79.08 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	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

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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[1]. LP-261 inhibits growth of a human non-small-cell lung tumor (NCI-H522) in vivo and can be used for cancer research[1].

IC_{50} & Target

EC50: 3.2 μM (tubulin polymerization)[1]

In Vitro

LP-261 shows potent G2/M block activity in multiple cell lines and exhibits a range of activity from 0.01μM to 0.38 μM across the tested cell lines, the IC_{50} values for MCF-7, H522, Jurkat, SW-620, BXPC-3, and PC-3 values are 0.01 μM, 0.01 μM, 0.02 μM, 0.05 μM, 0.05μM and 0.07 μM, respectively[1].

LP-261 exhibits low micromolar potency in the tubulin polymerization assay, the EC_{50} value of LP-261 is 5.0 μM[1]. LP-261

has the ability to compete with colchicine for binding to tubulin in a [^{3}H]colchicine competition binding assay, the EC_{50} (3.2 μM) for LP-261 to inhibit the binding with a potency similar to that of colchicine itself, and it exhibits a 79% inhibition at a conctration of 30 μM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

LP-261 (oral gavage; 4 mg/kg; single dose) displays rapid adsorption by the oral route (T_{max}=2.0 h), the terminal half-life of 1.4 h (0.2 h indicated a moderate rate of elimination in rat, and the volume of distribution (V_{ss}) is 1.25 L/kg[1].

LP-261 (oral gavage; 15 or 50 mg/kg; twice daily; 28 days) at 50mg/kg results in an approximately tumor volume of 130 mm^3 versus 3769 mm^3 in the vehicle treated group, this represents a 96% reduction in mean tumor volume. Meanwhile, LP-261 at 15 mg/kg leads to a 41% inhibition after 28 days in this mouse model[1].

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Animal Model:	Human tumor xenograft model (Injected with NCI-H522 human non-small-cell) in NCr-nu mice[1]
Dosage:	15 or 50 mg/kg
Administration:	Oral gavage; 15 or 50 mg/kg; twice daily; 28 days
Result:	Had potent anti-tumor efficacy at high dosage and exhibited no significant changes in body weights.

REFERENCES

[1]. 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

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