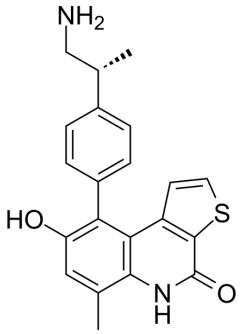
OTS514

Cat. No.:	HY-18621
CAS No.:	1338540-63-8
Molecular Formula:	$C_{21}H_{20}N_2O_2S$
Molecular Weight:	364.46
Target:	TOPK; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 90 mg/mL (246.94 mM; ultrasonic and adjust pH to 3 with 1M HCl)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7438 mL	13.7189 mL	27.4379 mL
5 mM	0.5488 mL	2.7438 mL	5.4876 mL
10 mM	0.2744 mL	1.3719 mL	2.7438 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.86 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

OTS514 is a highly potent TOPK inhibitor with an IC_{50} of 2.6 nM. OTS514 strongly suppresses the growth of TOPK-positive cancer cells[1]. OTS514 induces cell cycle arrest and apoptosis[2].

IC_{50} & Target

IC50: 2.6 nM (TOPK)[1]

In Vitro

OTS514 (1.5625-100 nM) induces cell cycle arrest and apoptosis at nanomolar concentrations in a series of human myeloma cell lines (HMCL) and prevents outgrowth of a putative $CD138^+$ stem cell population from multiple myeloma (MM) patient-

derived peripheral blood mononuclear cells[2].

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

Cell Viability Assay[2]

Cell Line:	Human myeloma cell lines (MM1.S, MM1.R, RPMI 8226, 8226Dox40, KMS34, KMS34CFZ, KMS11, JJN3, LP-1, NCI H929, U266B1)
Concentration:	1.5625, 3.125, 6.25, 12.5, 25, 50, and 100 nM
Incubation Time:	72 hours
Result:	IC_{50} values ranged from 11.6 to 29.4 nM in parental cell lines, indicating a potent inhibitory effect. Only the RPMI 8226-Dox40 cell line, which overexpresses the multi-drug resistance transporter gene ABCB1, is resistant.

In Vivo

OTS514 (1-5 mg/kg; once a day for 2 weeks; intravenous administration) induces tumor regression in a xenograft model of A549 cells (TOPK-positive lung cancer cells)[1].

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

Animal Model:	Female BALB/cSLC-nu/nu mice bearing a xenograft model of A549 cells[1]
Dosage:	1, 2.5, and 5 mg/kg
Administration:	Intravenously treated; once every day for 2 weeks
Result:	Resulted in tumor growth inhibition (TGI) of 5.7, 43.3, and 65.3% on day 15, respectively, without any body weight loss.

CUSTOMER VALIDATION

- J Exp Clin Cancer Res. 2023 Apr 4;42(1):80.
- Research Square Print. December 5th, 2022.

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REFERENCES

[1]. Matsuo Y, et al. TOPK inhibitor induces complete tumor regression in xenograft models of human cancer through inhibition of cytokinesis. Sci Transl Med. 2014 Oct 22;6(259):259ra145.

[2]. Stefka AT, et al. Potent anti-myeloma activity of the TOPK inhibitor OTS514 in pre-clinical models. Cancer Med. 2020 Jan;9(1):324-334.

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