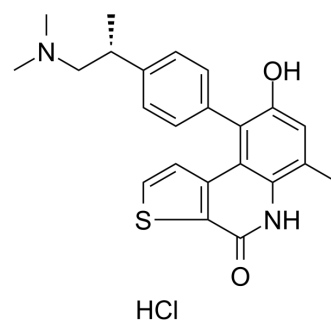


## OTS964 hydrochloride

Cat. No.:	HY-12467
CAS No.:	1338545-07-5
Molecular Formula:	C <sub>23</sub> H <sub>25</sub> ClN <sub>2</sub> O <sub>2</sub> S
Molecular Weight:	429
Target:	TOPK; CDK; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 2 years; -20°C, 1 year (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 83.33 mg/mL (194.24 mM)  
 H<sub>2</sub>O : 2 mg/mL (4.66 mM; ultrasonic and warming and heat to 60°C)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.3310 mL	11.6550 mL	23.3100 mL
	5 mM	0.4662 mL	2.3310 mL	4.6620 mL
	10 mM	0.2331 mL	1.1655 mL	2.3310 mL

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

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (5.83 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

OTS964 hydrochloride is an orally active, high affinity and selective TOPK (T-lymphokine-activated killer cell-originated protein kinase) inhibitor with an IC<sub>50</sub> of 28 nM<sup>[1]</sup>. OTS964 hydrochloride is also a potent inhibitor of the cyclin-dependent kinase CDK11, which binds to CDK11B with a K<sub>d</sub> of 40 nM<sup>[2]</sup>.

#### IC<sub>50</sub> & Target

TOPK 28 nM (IC <sub>50</sub> )	CDK11B 40 nM (K <sub>d</sub> )
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**In Vitro**

OTS964 hydrochloride (10 nM; 48 hours) suppresses cancer cell proliferation<sup>[1]</sup>.

OTS964 hydrochloride (10 nM; 48 hours) increases cancer cell death<sup>[1]</sup>.

OTS964 (0.1-2  $\mu$ M; 24 and 48 hours) increases the expression of LC3-II and decreases the expression of P62, both in a dose-dependent manner<sup>[3]</sup>.

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

**Cell Proliferation Assay<sup>[1]</sup>**

Cell Line:	LU-99 cells
Concentration:	10 nM
Incubation Time:	48 hours
Result:	Suppressed cancer cell proliferation.

**Apoptosis Analysis<sup>[1]</sup>**

Cell Line:	LU-99 cells
Concentration:	10 nM
Incubation Time:	48 hours
Result:	Increased cancer cell death.

**Western Blot Analysis<sup>[3]</sup>**

Cell Line:	Hs683 cells, H4 cells
Concentration:	0.1, 1, 2 $\mu$ M
Incubation Time:	24 and 48 hours
Result:	Increased the expression of LC3-II and decreased the expression of P62, both in a dose-dependent manner.

**In Vivo**

OTS964 hydrochloride (intravenously; 40 mg/kg on days 1, 4, 8, 11, 15, and 18) makes tumors shrinking even after the treatment and finally revealing complete regression<sup>[1]</sup>.

OTS964 hydrochloride (oral administration; 50 or 100 mg/kg/day for 2 weeks) ultimately achieves complete tumor regression<sup>[1]</sup>.

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

Animal Model:	Nude mice bearing LU-99 lung cancer cells <sup>[1]</sup>
Dosage:	40 mg/kg
Administration:	Intravenously; on days 1, 4, 8, 11, 15, and 18
Result:	The tumors continued shrinking even after the treatment and finally revealed complete regression.

Animal Model:	Nude mice bearing LU-99 lung cancer cells <sup>[1]</sup>
Dosage:	50 or 100 mg/kg
Administration:	Oral administration; once every day for 2 weeks

Result:

Achieved complete tumor regression.

## CUSTOMER VALIDATION

- Nature. 2022 Sep;609(7928):829-834.
- Cell. 2021 Jun 10;184(12):3143-3162.e32.
- Adv Sci (Weinh). 2024 Feb 2:e2308496.
- J Eur Acad Dermatol Venereol. 2023 Dec 22.
- Cell Death Dis. 2019 Aug 5;10(8):583.

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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]. Lin A, et al. Off-target toxicity is a common mechanism of action of cancer drugs undergoing clinical trials. Sci Transl Med. 2019 Sep 11;11(509).
- [3]. Lu H, et al. TOPK inhibits autophagy by phosphorylating ULK1 and promotes glioma resistance to TMZ. Cell Death Dis. 2019 Aug 5;10(8):583.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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