OTS964 hydrochloride

Cat. No.: HY-12467

CAS No.: 1338545-07-5

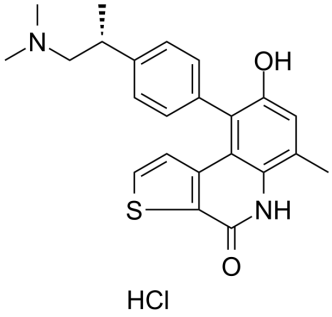
Molecular Formula: $C_{23}H_{25}ClN_2O_2S$

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_2O : 2 mg/mL (4.66 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	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

1. 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
2. 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
3. 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_{50} of 28 nM[1]. OTS964 hydrochloride is also a potent inhibitor of the cyclin-dependent kinase CDK11, which binds to CDK11B with a K_d of 40 nM[2].

IC_{50} & Target	TOPK	CDK11B
	28 nM (IC_{50})	40 nM (Kd)

In Vitro

OTS964 hydrochloride (10 nM; 48 hours) suppresses cancer cell proliferation[1].
OTS964 hydrochloride (10 nM; 48 hours) increases cancer cell death[1].
OTS964 (0.1-2 μM; 24 and 48 hours) increases the expression of LC3-II and decreases the expression of P62, both in a dose-dependent manner[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

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

Apoptosis Analysis[1]

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

Western Blot Analysis[3]

Cell Line:	Hs683 cells, H4 cells
Concentration:	0.1, 1, 2 μM
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[1].
OTS964 hydrochloride (oral administration; 50 or 100 mg/kg/day for 2 weeks) ultimately achieves complete tumor regression[1].
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[1]
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[1]
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 ofcytokinesis. 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.

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