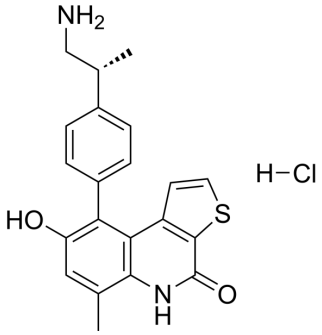
OTS514 hydrochloride

Cat. No.:	HY-18621A
CAS No.:	2319647-76-0
Molecular Formula:	$C_{21}H_{21}ClN_2O_2S$
Molecular Weight:	400.92
Target:	TOPK; Apoptosis
Pathway:	Cell Cycle/DNA Damage; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	OTS514 hydrochloride is a highly potent TOPK inhibitor, which inhibits TOPK kinase activity with a median inhibitory concentration (IC_{50}) value of 2.6 nM. OTS514 hydrochloride strongly suppresses the growth of TOPK-positive cancer cells[1]. OTS514 hydrochloride 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, was 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].

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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.

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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