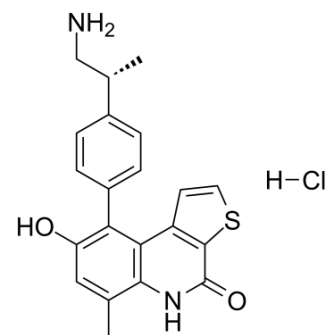


## OTS514 hydrochloride

Cat. No.:	HY-18621A
CAS No.:	2319647-76-0
Molecular Formula:	C <sub>21</sub> H <sub>21</sub> ClN <sub>2</sub> O <sub>2</sub> S
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

<b>Description</b>	OTS514 hydrochloride is a highly potent TOPK inhibitor, which inhibits TOPK kinase activity with a median inhibitory concentration (IC <sub>50</sub> ) value of 2.6 nM. OTS514 hydrochloride strongly suppresses the growth of TOPK-positive cancer cells <sup>[1]</sup> . OTS514 hydrochloride induces cell cycle arrest and apoptosis <sup>[2]</sup> .								
<b>IC<sub>50</sub> &amp; Target</b>	IC50: 2.6 nM (TOPK) <sup>[1]</sup>								
<b>In Vitro</b>	<p>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<sup>+</sup> stem cell population from multiple myeloma (MM) patient-derived peripheral blood mononuclear cells<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <p>Cell Viability Assay<sup>[2]</sup></p> <table border="1"> <tr> <td>Cell Line:</td> <td>Human myeloma cell lines (MM1.S, MM1.R, RPMI 8226, 8226Dox40, KMS34, KMS34CFZ, KMS11, JJN3, LP-1, NCI H929, U266B1)</td> </tr> <tr> <td>Concentration:</td> <td>1.5625, 3.125, 6.25, 12.5, 25, 50, and 100 nM</td> </tr> <tr> <td>Incubation Time:</td> <td>72 hours</td> </tr> <tr> <td>Result:</td> <td>IC<sub>50</sub> 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.</td> </tr> </table>	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 <sub>50</sub> 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.
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<b>In Vivo</b>	<p>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)<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Female BALB/cSLC-nu/nu mice bearing a xenograft model of A549 cells<sup>[1]</sup></td> </tr> <tr> <td>Dosage:</td> <td>1, 2.5, and 5 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intravenously treated; once every day for 2 weeks</td> </tr> </table>	Animal Model:	Female BALB/cSLC-nu/nu mice bearing a xenograft model of A549 cells <sup>[1]</sup>	Dosage:	1, 2.5, and 5 mg/kg	Administration:	Intravenously treated; once every day for 2 weeks		
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Result:

Resulted in tumor growth inhibition (TGI) of 5.7, 43.3, and 65.3% on day 15, respectively, without any body weight loss.

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## REFERENCES

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

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