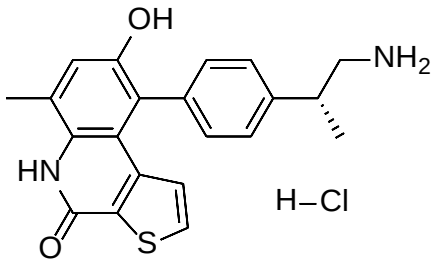
Product data sheet

MedKoo Cat#: 558256 Name: OTS514 HCl CAS: 2319647-76-0 (HCl) Chemical Formula: $C_{21}H_{21}ClN_2O_2S$ Exact Mass: 364.1245 Molecular Weight: 400.921	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
OTS514 is a potent TOPK (T-LAK cell-originated protein kinase) inhibitor. OTS514 exhibits growth suppressive effect on small cell lung cancer. TS514 effectively suppressed growth of SCLC cell lines (IC50 ; 0.4 ~ 42.6 nM) and led to their apoptotic cell death. Treatment with OTS514 suppressed forkhead box protein M1 (FOXM1) activity, which was involved in stemness of CSC. Furthermore, OTS514 treatment reduced CD90-positive SCLC cells and showed higher cytotoxic effect against lung sphere-derived CSC-like SCLC cells.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	80.0	199.54
Ethanol	5.0	12.47
Water	80.0	199.54

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.49 mL	12.47 mL	24.94 mL
5 mM	0.50 mL	2.49 mL	4.99 mL
10 mM	0.25 mL	1.25 mL	2.49 mL
50 mM	0.05 mL	0.25 mL	0.50 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Kato M, Ota A, Ono T, Karnan S, Hyodo T, Rahman ML, Hasan MN, Onda M, Kondo S, Ito K, Furuhashi A, Hayashi T, Konishi H, Tsuzuki S, Hosokawa Y, Kazaoka Y. PDZ-binding kinase inhibitor OTS514 suppresses the proliferation of oral squamous carcinoma cells. Oral Dis. 2023 Feb 17. doi: 10.1111/odi.14533. Epub ahead of print. PMID: 36799330.
2. Stefka AT, Johnson D, Rosebeck S, Park JH, Nakamura Y, Jakubowiak AJ. Potent anti-myeloma activity of the TOPK inhibitor OTS514 in pre-clinical models. Cancer Med. 2020 Jan;9(1):324-334. doi: 10.1002/cam4.2695. Epub 2019 Nov 12. PMID: 31714026; PMCID: PMC6943155.

In vivo study

1. Ota A, Hanamura I, Karnan S, Inaguma S, Takei N, Lam VQ, Mizuno S, Kanasugi J, Wahiduzzaman M, Rahman ML, Hyodo T, Konishi H, Tsuzuki S, Ikeda H, Takami A, Hosokawa Y. Novel Interleukin-6 Inducible Gene PDZ-Binding Kinase Promotes Tumor Growth of Multiple Myeloma Cells. J Interferon Cytokine Res. 2020 Aug;40(8):389-405. doi: 10.1089/jir.2020.0111. Epub 2020 Jul 23. PMID: 32721246; PMCID: PMC7462034.

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2. Ikeda Y, Park JH, Miyamoto T, Takamatsu N, Kato T, Iwasa A, Okabe S, Imai Y, Fujiwara K, Nakamura Y, Hasegawa K. T-LAK Cell-Originated Protein Kinase (TOPK) as a Prognostic Factor and a Potential Therapeutic Target in Ovarian Cancer. Clin Cancer Res. 2016 Dec 15;22(24):6110-6117. doi: 10.1158/1078-0432.CCR-16-0207. Epub 2016 Jun 22. PMID: 27334838.

7. Bioactivity

Biological target:

OTS514 is a potent TOPK (T-LAK cell-originated protein kinase) inhibitor.

In vitro activity

OTS514 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 MM patient-derived peripheral blood mononuclear cells. In bone marrow cells from MM patients, OTS514 treatment exhibited preferential killing of the malignant $CD138^+$ plasma cells compared with the $CD138^-$ compartment.

Reference: Cancer Med. 2020 Jan;9(1):324-334. https://pubmed.ncbi.nlm.nih.gov/31714026/

In vivo activity

Using the peritoneal dissemination model of ES-2 ovarian cancer cells, this study examined the in vivo efficacy of OTS514. Oral administration of OTS514 significantly elongated overall survival in the ES-2 abdominal dissemination xenograft model, compared with vehicle control ($P < 0.001$).

Reference: Clin Cancer Res. 2016 Dec 15;22(24):6110-6117. https://pubmed.ncbi.nlm.nih.gov/27334838/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.