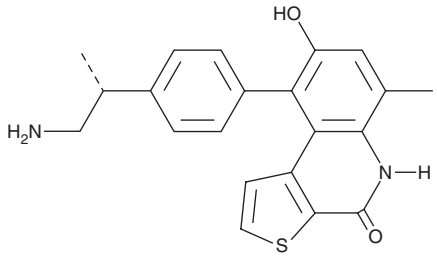
PRODUCT INFORMATION

OTS514

Item No. 17053

CAS Registry No.:	1338540-63-8
Formal Name:	9-[4-[(1R)-2-amino-1-methylethyl] phenyl]-8-hydroxy-6-methyl-thieno[2,3-c]quinolin-4(5H)-one
MF:	$C_{21}H_{20}N_2O_2S$
FW:	364.5
Purity:	≥95%
UV/Vis.:	λ_{max}: 219, 233, 297, 351 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

OTS514 is supplied as a crystalline solid. A stock solution may be made by dissolving the OTS514 in the solvent of choice, which should be purged with an inert gas. OTS514 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of OTS514 in these solvents is approximately 30 mg/ml.

OTS514 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, OTS514 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. OTS514 has a solubility of approximately 0.25 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

OTS514 is an inhibitor of lymphokine-activated killer T cell-originated protein kinase (TOPK; IC_{50} = 2.6 nM), a serine-threonine kinase often overexpressed and transactivated in several types of cancer.[1,2] OTS514 (10 nM) selectively inhibits growth of patient-derived acute myeloid leukemia (AML) cells over normal $CD34^+$ cells. It shows similar growth inhibitory effects in multiple small cell lung, kidney, and ovarian cancer cell lines (IC_{50}s = 0.4-42.6 nM).[3-5] *In vivo*, OTS514 (25 and 50 mg/kg) increases survival in a peritoneal mouse dissemination model of ovarian cancer. It also dose-dependently inhibits tumor growth in an A549 mouse xenograft model when administered at doses of 1, 2.5, and 5 mg/kg.[1]

References

1. Matsuo, Y., Park, J.H., Miyamoto, T., *et al.* TOPK inhibitor induces complete tumor regression in xenograft models of human cancer through inhibition of cytokinesis. *Sci. Transl. Med.* **6(259)**, 259ra145 (2014).
2. Alachkar, H., Mutonga, M., Malnassy, G., *et al.* T-LAK cell-originated protein kinase presents a novel therapeutic target in *FLT3*-ITD mutated acute myeloid leukemia. *Oncotarget* **6(32)**, 33410-33425 (2015).
3. Kato, T., Inoue, H., Imoto, S., *et al*. Oncogenic roles of TOPK and MELK, and effective growth suppression by small molecular inhibitors in kidney cancer cells. *Oncotarget* **7(14)**, 17652-17664 (2016).
4. Park, J.-H., Inoue, H., Kato, T., *et al.* TOPK (T-LAK cell-originated protein kinase) inhibitor exhibits growth suppressive effect on small cell lung cancer. *Cancer Sci.* **108(3)**, 488-496 (2017).
5. Ikeda, Y., Park, J.H., Miyamoto, T., *et al.* T-LAK cell-originated protein kinase (TOPK) as a prognostic factor and a potential therapeutic target in ovarian cancer. *Clin. Cancer. Res* **22(4)**, 6110-6117 (2016).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA
This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent *via* email to your institution.

WARRANTY AND LIMITATION OF REMEDY
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