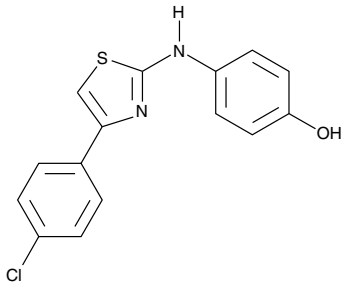
Product Information

Sphingosine Kinase Inhibitor 2

Item No. 10009222

CAS Registry No.:	312636-16-1
Synonyms:	SKI II, SPHK I2
Formal Name:	4-[[4-(4-chlorophenyl)-2-thiazolyl] amino]-phenol
MF:	$C_{15}H_{11}ClN_2OS$
FW:	302.8
Purity:	≥95%
Stability:	≥2 years at -20°C
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 259, 282 nm



Laboratory Procedures

For long term storage, we suggest that sphingosine kinase ihibitor 2 (SPHK I2) be stored as supplied at -20°C. It should be stable for at least two years.

SPHK I2 is supplied as a crystalline solid. A stock solution may be made by dissolving the SPHK I2 in an organic solvent purged with an inert gas. SPHK I2 is soluble in organic solvents such as DMSO and dimethyl formamide (DMF). The solubility of SPHK I2 in these solvents is approximately 20 mg/ml.

SPHK I2 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, SPHK I2 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. SPHK I2 has a solubility of approximately 0.3 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.

Sphingosine kinase isoforms, SPHK 1 and SPHK 2, catalyze the phosphorylation of sphingosine to sphingosine-1-phosphate (S1P). S1P exhibits a broad spectrum of biological activities including cell proliferation, survival, migration, cytoskeletal organization, and morphogenesis.[1-3] SPHK I2 is a potent, selective inhibitor of SPHK 1 with anti-proliferative activity.[4] It exhibits non-ATP-competitive inhibition of human recombinant GST-SPHK 1 with an IC_{50} value of 0.5 µM, with no inhibition against ERK2, PI3-kinase, or PKCα at concentrations up to 60 µM. SPHK I2 inhibits proliferation of several human cancer cell lines (T-24, MCF-7, NCI/ADR, and MCF-7/VP) with IC_{50} values in the low µM range (0.9-4.6 µM).[4]

References

1. Takuwa, Y., Takuwa, N., and Sugimoto, N. The Edg family G protein-coupled receptors for lysophospholipids: Their signaling properties and biological activities. *J. Biochem.* **131**, 767-771 (2002).
2. Ishii, I., Fukushima, N., Ye, X., *et al.* Lysophospholipid receptors: Signaling and biology. *Annu. Rev. Biochem.* **73**, 321-354 (2004).
3. Kluk, M.J. and Hla, T. Signaling of sphingosine-1-phosphate *via* the S1P/EDG-family of G-protein-coupled receptors. *Biochim. Biophys. Acta* **1582**, 72-80 (2002).
4. French, K.J., Schrecengost, R.S., Lee, B.D., *et al.* Discovery and evaluation of inhibitors of human sphingosine kinase. *Cancer Res.* **63**, 5962-5969 (2003).

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