Revision 3

Store at -20C

#13213

ZSTK474

Cell Signaling TECHNOLOGY®

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Background

ZSTK474 is a pan PI3K inhibitor that acts through ATP-competition by binding at the ATP-binding pocket of PI3Kγ (1,2). ZSTK474 inhibits PI3K isoforms α, β, δ, and γ with IC_{50} values of 16, 44, 4.6 and 49 nM, respectively. ZSTK474 demonstrates increased potency of PI3K inhibition over LY294002 (Average IC_{50} = 1.4 µM) as well as decreased observable toxicity. It has also been shown that ZSTK474 is a weak mTOR inhibitor, demonstrating increased specificity over other PI3K inhibitors, including LY294002 (3). Research studies show that ZSTK474 inhibits cell proliferation by arresting cells in G1 phase (2). By blocking vascular endothelial growth factor (VEGF) and matrix metalloproteinase (MMP) secretion, ZSTK474 is able to inhibit cell migration, invasion, and adhesion (4).

Molecular Formula

$C_{19}H_{21}F_2N_7O_2$

Molecular Weight

417.41 g/mol

Purity

>99%

CAS

475110-96-4

Solubility

Soluble in DMSO at 20mg/ml and in EtOH at 2.5mg/ml.

Storage

Store lyophilized or in solution at -20ºC, desiccated. In lyophilized form, the chemical is stable for 24 months. Once in solution, use within 3 months to prevent loss of potency. Aliquot to avoid multiple freeze/thaw cycles.

Directions for Use

ZSTK474 is supplied as a lyophilized powder. For a 10 mM stock, reconstitute the 5 mg in 1.20 ml DMSO. Working concentrations and length of treatment can vary depending on the desired effect, but it is typically used at 0.01 - 10 µM for 2-24 hours.

Background References

1. Kong, D. et al. (2009) *Biol Pharm Bull* 32, 297-300.
2. Yang, S. et al. (2011) *PLoS One* 6, e26343.
3. Kong, D. and Yamori, T. (2007) *Cancer Sci* 98, 1638-42.
4. Zhao, W. et al. (2013) *Int J Mol Sci* 14, 13577-91.

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