Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

ZSTK474

Catalog No: tcsc0083

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Size: 2g

Specifications

CAS No:
475110-96-4

Formula:
$C_{19}H_{21}F_2N_7O_2$

Pathway:
PI3K/Akt/mTOR;Autophagy

Target:
PI3K;Autophagy

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

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Observed Molecular Weight:
417.41

Product Description

ZSTK474 is an ATP-competitive pan-class I **PI3K** inhibitor with **IC_{50}**s of16 nM, 44 nM, 4.6 nM and 49 nM for PI3Kα, PI3Kβ, PI3Kδ and PI3Kγ, respectively.

IC50 & Target: IC50: 16 nM (PI3Kα), 44 nM (PI3Kβ), 4.6 nM (PI3Kδ), 49 nM (PI3Kγ)[1]

In Vitro: Lineweaver-Burk plot analysis revealed that ZSTK474 inhibits all four PI3K isoforms in an ATP-competitive manner. The K_i values determined for the four PI3K isoforms showed that ZSTK474 inhibited the PI3Kδ isoform most effectively with a K_i of 1.8 nM, whereas the other isoforms are inhibited with 4-10-fold higher K_i values. Therefore, ZSTK474 should be regarded as a pan-PI3K inhibitor. We also determined the IC_{50} values for inhibiting the four PI3K isoforms with ZSTK474 and LY294002. The IC_{50} values of ZSTK474 (16, 44, 4.6 and 49 nM for PI3Kα, PI3Kβ, PI3Kδ and PI3Kγ, respectively) are shown to be consistent with the K_i values (6.7, 10.4, 1.8 and 11.7 nM for PI3Kα, PI3Kβ, PI3Kδ and PI3Kγ, respectively), which further supported the idea that ZSTK474 inhibits PI3Kδ most potently. Even at a concentration of 100 μM, ZSTK474 inhibits mTOR activity rather weakly[1].

In Vivo: In mice subjected to MCAO, treatment with ZSTK474 is tested at dosages of 50, 100, 200, and 300 mg/kg. Since the 200 mg/kg dose produces significant improvement and no obvious toxic effects (P[2].