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

CZC24832

Catalog No: tcsc0710

Available Sizes

Size: 5mg

Size: 10mg

Specifications

CAS No:
1159824-67-5

Formula:
$C_{15}H_{17}FN_6O_2S$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 53 mg/mL (145.44 mM)

Observed Molecular Weight:
364.4

Product Description

CZC24832 is a highly selective and potent **PI3Kγ** inhibitor (IC_{50}=27 nM) with apparent dissociation constants ($\mathbf{K_d^{app}}$) of 19 nM.

IC50 & Target: IC50: 27 nM (PI3Kγ), 1.1 μM (PI3Kβ), 8.194 μM (PI3Kδ)[1]

Kdapp: 19 nM (PI3Kγ)[1]

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In Vitro: CZC24832 is active in PI3Kγ-dependent cellular C5a-induced AKT Ser473 phosphorylation (IC_{50}=1.2 μM) and N-formyl-methionine-leucinephenylalanine (fMLP)-induced neutrophil migration assays (IC_{50}=1.0 μM)[1].

In Vivo: CZC24832 shows suitable pharmacokinetic properties including low clearance (0.84 L per h per kg body weight) and high oral bioavailability (37%), thus allowing further characterization of the inhibitor in rodent models of inflammation. In an IL-8-dependent air pouch model, CZC24832 shows a dose-dependent reduction of granulocyte recruitment (80% inhibition at 10 mg per kg body weight) consistent with the degree of inhibition observed in PI3Kγ-null mice. Mice treated orally with 10 mg CZC24832 per kg body weight twice per day show a substantial decrease of bone and cartilage destruction (53% reduction by histopathological analysis) as well as of overall clinical parameters (38% reduction)[1].