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

TG100-115

Catalog No: tcsc0186

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
677297-51-7

Formula:
$C_{18}H_{14}N_6O_2$

Pathway:
PI3K/Akt/mTOR

Target:
PI3K

Purity / Grade:
>98%

Solubility:
DMSO : 5.45 mg/mL (15.74 mM; Need ultrasonic and warming)

Observed Molecular Weight:
346.34

Product Description

TG100-115 is a selective **PI3Kγ**/**PI3Kδ** inhibitor with **IC_{50}**s of 83 and 235 nM, respectively.

IC50 & Target: IC50: 83 nM (PI3Kγ), 235 nM (PI3Kδ)[1]

In Vitro:

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TG100-115 inhibits PI3Kγ and PI3Kδ with IC_{50}s of 83 and 235 nM, respectively, whereas both PI3Kα and PI3Kβ are relatively unaffected (IC_{50} values >1 μM). As a gauge of general specificity, TG100-115 is also assayed against a 133 protein kinase panel, none of which are inhibited at IC_{50} values [1].

In Vivo: To correlate these in vivo responses with the molecular target of interest, PI3K pathway signaling is monitored through western blot analyses of Akt phosphorylation (a PI3K-mediated event). VEGF injection i.v. in mice induces a rapid Akt phosphorylation readily detectable in lung lysates, pretreatment with TG100-115 blocks this response. Blockade is seen with TG100-115 doses as low as 0.5 mg/kg and persists over a period of several hours. In initial dose-ranging studies, generally equivalent responses are observed using TG100-115 doses of 0.5-10 mg/kg, and we therefore elected to conduct a statistically powered test at the lowest dose. Animals dosed with TG100-115 as a single 0.5 mg/kg i.v. bolus 30 min after reperfusion developed smaller infarcts vs. vehicle-treated controls. Measuring infarct area as percent of total LV ischemic area, infarct size is reduced by 35% (P=0.04). Viable tissue within the ischemic zone is increased by 37% (P=0.04), directly demonstrating the cardioprotective effect of PI3Kγ/δ inhibition[1].