

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

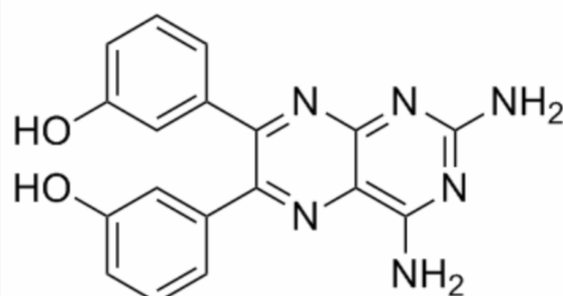
TG100-115 is a selective **PI3Kγ/PI3Kδ** inhibitor with **IC₅₀**s of 83 and 235 nM, respectively.

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

In Vitro:

TG100-115 inhibits PI3K γ and PI3K δ with IC₅₀s of 83 and 235 nM, respectively, whereas both PI3K α and PI3K β are relatively unaffected (IC₅₀ values >1 μ M). As a gauge of general specificity, TG100-115 is also assayed against a 133 protein kinase panel, none of which are inhibited at IC₅₀ 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 PI3K γ/δ inhibition^[1].



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