

# 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

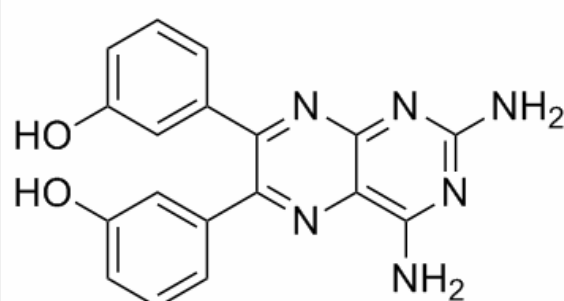
TG100-115 is a selective **PI3Kγ/PI3Kδ** inhibitor with **IC<sub>50</sub>**s of 83 and 235 nM, respectively.

IC50 & Target: IC50: 83 nM (PI3Kγ), 235 nM (PI3Kδ)<sup>[1]</sup>

***In Vitro:***

TG100-115 inhibits PI3K $\gamma$  and PI3K $\delta$  with IC<sub>50</sub>s of 83 and 235 nM, respectively, whereas both PI3K $\alpha$  and PI3K $\beta$  are relatively unaffected (IC<sub>50</sub> values >1  $\mu$ M). As a gauge of general specificity, TG100-115 is also assayed against a 133 protein kinase panel, none of which are inhibited at IC<sub>50</sub> 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 $\gamma/\delta$  inhibition<sup>[1]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!