

# CCG 203769

# Catalog No: tcsc0035365

Available Sizes

Size: 5mg

Size: 10mg

Size: 25mg

**Specifications** 

#### CAS No:

410074-60-1

#### Formula:

 $C_8H_{14}N_2O_2S$ 

#### Pathway:

GPCR/G Protein

#### **Target:**

**RGS** Protein

#### Purity / Grade:

### Solubility: DMSO : 62.5 mg/mL (308.99 mM; Need ultrasonic)

#### **Observed Molecular Weight:**

202.27

## **Product Description**

CCG-203769 is a selective G protein signaling (**RGS4**) inhibitor, which blocks the RGS4-G $\alpha_0$  protein-protein interaction in vitro with an **IC**<sub>50</sub> of 17 nM.



IC50 & Target: IC50: 17 nM (RGS4), 140 nM (RGS19), 6  $\mu$ M (RGS16), 79  $\mu$ M (RGS8), 5.4  $\mu$ M (GSK3 $\beta$ ), >100  $\mu$ M (RGS7)<sup>[1]</sup>

In Vitro: CCG-203769 also displays dramatic selectivity (8- to >5000-fold) for RGS4 over other RGS proteins. CCG-203769 inhibits RGS19 with an IC<sub>50</sub> of 140 nM (8-fold selective for RGS4) and 6  $\mu$ M for RGS16 (350-fold selective for RGS4). The closely related RGS8 is very weakly inhibited (IC<sub>50</sub>>60  $\mu$ M) providing >4500-fold selectivity for RGS4. CCG-203769 inhibits GSK-3 $\beta$  with an IC<sub>50</sub> value of 5  $\mu$ M. CCG-203769 does not inhibit the cysteine protease papain at 100  $\mu$ M. CCG-203769 does not inhibit RGS7, which lacks cysteines in the RGS domain. CCG-203769 inhibits RGS/G $\alpha_0$  binding in an RGS-selective manner. CCG-203769 enhances G $\alpha_q$ -dependent cellular Ca<sup>2+</sup> signaling in an RGS4-dependent manner. CCG-203769 also blocks the GTPase accelerating protein (GAP) activity of RGS4. In single-turnover and steady-state GTPase experiments with G $\alpha_0$  and G $\alpha_{11}$ , the rate of GTP hydrolysis is strongly stimulated by RGS4, and this effect is inhibited by CCG-203769 with an IC<sub>50</sub>[1].

*In Vivo:* To determine whether this genetic disruption of RGS4 function can be replicated pharmacologically, CCG-203769 is tested for effects on Carbachol-mediated bradycardia in conscious, unrestrained rats. Carbachol (0.1 mg/kg, IP) produces a modest decrease in heart rate compared to that of a saline vehicle control. CCG-203769 (10 mg/kg, IV) has no significant effect upon heart rate when given alone. However, CCG-203769, administered immediately prior to Carbachol, significantly potentiates the bradycardic effect (p [1].



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