

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:

>98%

Solubility:

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

Observed Molecular Weight:

202.27

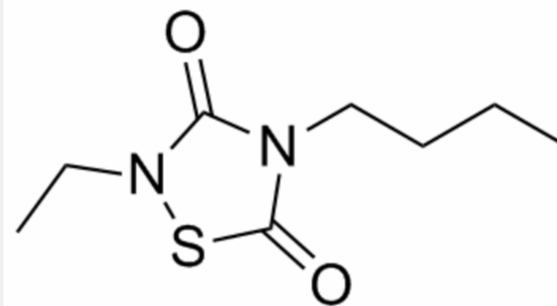
Product Description

CCG-203769 is a selective G protein signaling (**RGS4**) inhibitor, which blocks the RGS4-G α_o protein-protein interaction in vitro with an **IC₅₀** of 17 nM.

IC50 & Target: IC50: 17 nM (RGS4), 140 nM (RGS19), 6 μM (RGS16), 79 μM (RGS8), 5.4 μM (GSK3β), >100 μM (RGS7)^[1]

In Vitro: CCG-203769 also displays dramatic selectivity (8- to >5000-fold) for RGS4 over other RGS proteins. CCG-203769 inhibits RGS19 with an IC₅₀ of 140 nM (8-fold selective for RGS4) and 6 μM for RGS16 (350-fold selective for RGS4). The closely related RGS8 is very weakly inhibited (IC₅₀>60 μM) providing >4500-fold selectivity for RGS4. CCG-203769 inhibits GSK-3β with an IC₅₀ value of 5 μM. CCG-203769 does not inhibit the cysteine protease papain at 100 μM. CCG-203769 does not inhibit RGS7, which lacks cysteines in the RGS domain. CCG-203769 inhibits RGS/Gα_o binding in an RGS-selective manner. CCG-203769 enhances Gα_q-dependent cellular Ca²⁺ 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 Gα_o and Gα₁₁, the rate of GTP hydrolysis is strongly stimulated by RGS4, and this effect is inhibited by CCG-203769 with an IC₅₀[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]).



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