Proteins

Inhibitors

CCG-63802

Cat. No.: HY-70074 CAS No.: 620112-78-9 Molecular Formula: $C_{26}H_{18}N_4O_2S$

Molecular Weight: 450.51

Target: **RGS Protein** Pathway: GPCR/G Protein

Storage: Powder -20°C

2 years

3 years

In solvent -80°C 2 years

> -20°C 1 year

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Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 1.67 mg/mL (3.71 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.2197 mL	11.0985 mL	22.1971 mL
	5 mM			
	10 mM			

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. CCG-63802 is dissolved in DMSO and diluted with 0.9% NaCl^[3].

BIOLOGICAL ACTIVITY

Description	CCG-63802 is a selective, reversible and allosteric RGS4 inhibitor. CCG-63802 specifically binds to RGS4 and blocks the RGS4- $G\alpha_0$ interaction, with an IC ₅₀ value of 1.9 μ M ^[1] .
IC ₅₀ & Target	RGS4 1.9 μM (IC ₅₀)

In Vitro CCG-63802 (5 µM) inhibits regulators of G-protein signaling (RGS) proteins in the presence of BK (bradykinin) and 8-Br-cGMP (membrane-permeable analogue of cGMP), HEK-293 cells start to depolarize again^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo CCG-63802 (0.05 mg/kg; intratracheal administration; once per week; 90 days) reduces RGS4 protein expression, leading to partially abrogate the attenuating effect of PGZ on airway inflammation, hyperresponsiveness (AHR), and remodeling^[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Forty female BALB/c mice aged 6-8 week old ^[3]
Dosage:	0.05 mg/kg
Administration:	Intratracheal administration; once per week; 90 days
Result:	CCG 63802 treatment in OVA +PGZ + CCG group significantly reduced RGS4 protein expression compared to OVA + PGZ group (P < 0.05)

CUSTOMER VALIDATION

• Inflammation. 2018 Dec;41(6):2079-2089.

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REFERENCES

- [1]. Levi L Blazer, et al. Reversible, allosteric small-molecule inhibitors of regulator of G protein signaling proteins. Mol Pharmacol. 2010 Sep;78(3):524-33.
- [2]. Marina Dobrivojević, et al. Interaction between bradykinin and natriuretic peptides via RGS protein activation in HEK-293 cells. Am J Physiol Cell Physiol. 2012 Dec 15;303(12):C1260-8.
- [3]. Xia Meng, et al. PPARy Agonist PGZ Attenuates OVA-Induced Airway Inflammation and Airway Remodeling via RGS4 Signaling in Mouse Model. Inflammation. 2018 Dec;41(6):2079-2089.

Caution: Product has not been fully validated for medical applications. For research use only.

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