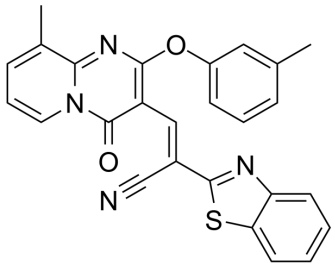
CCG-63802

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 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



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-$G\alpha_o$ interaction, with an IC_{50} value of 1.9 μM[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. PPARγ Agonist PGZ Attenuates OVA-Induced Airway Inflammation and Airway Remodeling via RGS4 Signaling in Mouse Model. Inflammation. 2018 Dec;41(6):2079-2089.

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