

CCG 203769

## Chemical Properties

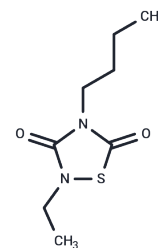
CAS No. : 410074-60-1

Formula: C<sub>8</sub>H<sub>14</sub>N<sub>2</sub>O<sub>2</sub>S

Molecular Weight: 202.27

Storage: Pure form: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



## Biological Description

Description	CCG 203769 (Thiadiazolidinone (TDZD) deriv. 6) is a selective inhibitor of RGS4 with an IC <sub>50</sub> of 17 nM for the RGS4-Gαo protein-protein interaction.
Targets(IC <sub>50</sub> )	Dopamine Receptor, GSK-3, GTPase
In vitro	CCG 203769 displays dramatic selectivity (8- to >5000-fold) for RGS4 over other RGS proteins with IC <sub>50</sub> s of 140 nM, 6 μM, and 79 μM for RGS19, RGS16, and RGS8. CCG 203769 inhibits GSK-3β with an IC <sub>50</sub> of 5 μM. CCG 203769 enhances Gαq-dependent cellular Ca <sup>2+</sup> signaling in an RGS4-dependent manner and inhibits RGS/Gαo binding in an RGS-selective manner. CCG 203769 also blocks the GTPase accelerating protein (GAP) activity of RGS4. CCG 203769 inhibits the effect of GTP hydrolysis stimulated by RGS4 with an IC <sub>50</sub> <1 μM in single-turnover and steady-state GTPase experiments[1].
In vivo	CCG 203769 (10 mg/kg, i.v.), administered immediately prior to Carbamoylcholine chloride(0.1 mg/kg, i.p.), significantly potentiates the bradycardic effect. CCG 203769 (1-10 mg/kg) reverses the increased hang time caused by raclopride administration in rats. CCG 203769 (0.1-10 mg/kg) reverses the raclopride-induced paw drag in mice[1].

## Solubility Information

Solubility	DMSO: 50 mg/mL (247.19 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (9.89 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

### Preparing Stock Solutions

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	<b>1mg</b>	<b>5mg</b>	<b>10mg</b>
1 mM	4.9439 mL	24.7194 mL	49.4389 mL
5 mM	0.9888 mL	4.9439 mL	9.8878 mL
10 mM	0.4944 mL	2.4719 mL	4.9439 mL
50 mM	0.0989 mL	0.4944 mL	0.9888 mL

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Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

#### Reference

Blazer LL, et al. Selectivity and anti-Parkinson's potential of thiadiazolidinone RGS4 inhibitors. ACS Chem Neurosci. 2015 Jun 17;6(6):911-9.

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