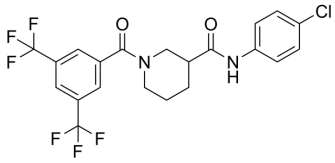
CCG-100602

Cat. No.:	HY-120855
CAS No.:	1207113-88-9
Molecular Formula:	$C_{21}H_{17}ClF_6N_2O_2$
Molecular Weight:	478.82
Target:	Ras
Pathway:	GPCR/G Protein; MAPK/ERK Pathway
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (208.85 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0885 mL	10.4423 mL	20.8847 mL
	5 mM	0.4177 mL	2.0885 mL	4.1769 mL
	10 mM	0.2088 mL	1.0442 mL	2.0885 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.83 mg/mL (1.73 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (1.73 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCG-100602 is a specific inhibitor of myocardin-related transcription factor A/serum response factor (MRTF-A/SRF) signaling. CCG-100602 specifically block MRTF-A nuclear localization and thus inhibit the fibrogenic transcription factor SRF[1][2].

In Vitro

CCG-100602 (3-30?μM) decreases the number of adherent hASC cells[2].
?CCG-100602 blocks the expression of MRTF-A/SRF-activated genes[2].
?CCG-100602 (5-40?μM) diminishes the TGF-β1 (5?ng/mL)-induced increase in COL1A1, FN1, and ACTA2 transcription in a dose-dependent manner[1].
?CCG-100602 (5-40?μM) reduces the TGF-β1-induced increase in MRTFA and SRF mRNA expression in the HIMFs in a dose-dependent manner [1].
?CCG-100602 (5-40?μM) significantly reduces the protein expression levels of the ECM and α-SMA in TGF-β1 (5?ng/mL)-stimulated cells in a dose-dependent manner[1].

?CCG-100602 (5-40?μM) also significantly represses the MRTF-A and SRF protein expression, which were induced by TGF-β1, in the nuclear fraction of the HIMFs in a dose-responsive manner[1].

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

Cell Viability Assay[2]

Cell Line:	Human adipose stem cell (hASC)
Concentration:	3, 8, 15, or 30 μM
Incubation Time:	7 days
Result:	The number of adherent cells decreased as a response to increasing inhibitor amount. The effect was also dependent on the culture media because the osteogenic medium condition supported the viability over basic culture medium and adipogenic medium conditions.

RT-PCR[1]

Cell Line:	Human intestinal myofibroblasts (HIMFs)
Concentration:	5, 10, 20, and 40 μM
Incubation Time:	30 min prior to the addition of TGF-β1 (5 ng/mL) for 24 hours
Result:	Diminished the TGF-β1-induced increase in COL1A1, FN1, and ACTA2 transcription in a dose-dependent manner. Reduced the TGF-β1-induced increase in MRTFA and SRF mRNA expression in the HIMFs in a dose-dependent manner.

Western Blot Analysis[1]

Cell Line:	Human intestinal myofibroblasts (HIMFs)
Concentration:	5, 10, 20, and 40 μM
Incubation Time:	30 min prior to the addition of TGF-β1 (5 ng/mL) for 48 hours
Result:	The protein expression levels of the ECM and α-SMA in TGF-β1-stimulated cells are significantly reduced. Repressed the MRTF-A and serum response factor (SRF) protein expression, which were induced by TGF-β1, in the nuclear fraction of the HIMFs.

In Vivo

Treatment with CCG-100602 (7.5 mg/kg/day, continuously administered for 2 weeks by osmotic minipumps) abrogates the increase of aortic stiffness represented by reduced arterial compliance and strain, indicating a significant anti-stiffening effect resulting from the inhibition of SRF/myocardin[3].

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

Animal Model:	Adult (4 month-old) male spontaneously hypertensive rats (SHR) and normotensive control Wistar-Kyoto (WKY) rats[3]
Dosage:	7.5 mg/kg/day
Administration:	Continuously administered for 2 weeks by osmotic minipumps.
Result:	Abrogated the increase of aortic stiffness represented by reduced arterial compliance and strain.

CUSTOMER VALIDATION

- Stem Cell Res Ther. 2022 Jun 11;13(1):248.

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REFERENCES

[1]. Yoon Jeong Choi, et al. Umbilical cord/placenta-derived mesenchymal stem cells inhibit fibrogenic activation in human intestinal myofibroblasts via inhibition of myocardin-related transcription factor A. Stem Cell Res Ther. 2019 Sep 23;10(1):291.

[2]. Laura Hyväri, et al. Myocardin-Related Transcription Factor A (MRTF-A) Regulates the Balance between Adipogenesis and Osteogenesis of Human Adipose Stem Cells. Stem Cells Int. 2020 Sep 22;2020:8853541.

[3]. Ning Zhou, et al. Rho Kinase Regulates Aortic Vascular Smooth Muscle Cell Stiffness Via Actin/SRF/Myocardin in Hypertension. Cell Physiol Biochem. 2017;44(2):701-715.

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