CCG-222740

Cat. No.: HY-121750

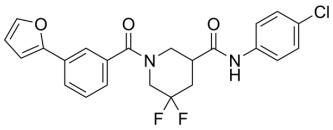
CAS No.: 1922098-69-8

Molecular Formula: $C_{23}H_{19}ClF_2N_2O_3$

Molecular Weight: 444.86

Target: Ras; ROCK

Pathway: GPCR/G Protein; Cell Cycle/DNA Damage; Cytoskeleton; Stem Cell/Wnt; TGF-beta/Smad



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 : 125 mg/mL (280.99 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2479 mL	11.2395 mL	22.4790 mL
	5 mM	0.4496 mL	2.2479 mL	4.4958 mL
	10 mM	0.2248 mL	1.1239 mL	2.2479 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: ≥ 2.25 mg/mL (5.06 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.25 mg/mL (5.06 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.25 mg/mL (5.06 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CCG-222740 is an orally active and selective Rho/myocardin-related transcription factor (MRTF) pathway inhibitor[1]. CCG-222740 is also a potent inhibitor of alpha-smooth muscle actin protein expression. CCG-222740 effectively reduces fibrosis in skin and blocks melanoma metastasis[2].

IC_{50} & Target

Rho/MRTF pathway[1]

In Vitro

CCG-222740 (10, 20 μM; for 72 hours) increases the protein levels of p27 and decreases cyclin D1. CCG-222740 decreases cell viability of CAFs, with an IC_{50} of ~10 μM[1].
CCG-222740 (10, 25 μM) is potent at decreasing αSMA protein expression in human conjunctival fibroblasts[2].
CCG-222740 has an IC_{50} of 5 μM in a fibroblast-mediated collagen contraction assay, and it is less cytotoxic[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Cycle Analysis[1]

Cell Line:	Cancer associated fibroblasts (CAFs)
Concentration:	10, 20 μM
Incubation Time:	72 hours
Result:	Increased the protein levels of p27 and decreased cyclin D1.

In Vivo

CCG-222740 (oral gavage; 100 mg/kg/day for 7 days) significantly reduces α-SMA levels in the pancreas of caerulein-stimulated KC mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	KC mice (LSL-$Kras^{G12D/+}$; Pdx-1-Cre) of age at 9 weeks[1]
Dosage:	100 mg/kg
Administration:	Oral gavage; daily; for 7 days
Result:	Reduced α-SMA levels in the pancreas of caerulein-stimulated KC mice.

REFERENCES

[1]. Leal AS, et al. The Rho/MRTF pathway inhibitor CCG-222740 reduces stellate cell activation and modulates immune cell populations in KrasG12D; Pdx1-Cre (KC) mice. Sci Rep. 2019 May 8;9(1):7072.

[2]. Yu-Wai-Man C, et al. Local delivery of novel MRTF/SRF inhibitors prevents scar tissue formation in a preclinical model of fibrosis. Sci Rep. 2017 Mar 31;7(1):518.

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