CAY10746

Cat. No.: HY-139170

CAS No.: 2247240-76-0

Molecular Formula: $C_{26}H_{23}N_3O_5$

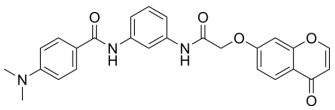
Molecular Weight: 457.48

Target: ROCK

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

Storage:

Powder	-20°C	3 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 83.33 mg/mL (182.15 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1859 mL	10.9294 mL	21.8589 mL
	5 mM	0.4372 mL	2.1859 mL	4.3718 mL
	10 mM	0.2186 mL	1.0929 mL	2.1859 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: ≥ 2.08 mg/mL (4.55 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.55 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CAY10746 is a selective Rho kinase (ROCK) inhibitor. CAY10746 has inhibitory activity for ROCK I, ROCK II with IC_{50} values of 0.014 μM and 0.003 μM, respectively. CAY10746 can be used for the research of diabetic retinopathy (DR)[1].

IC_{50} & Target

IC50: 0.014 μM (ROCK I); 0.003 μM (ROCK II)[1]

In Vitro

CAY10746 (compound 12j) has inhibitory activity for ROCK I, ROCK II with IC_{50} values of 0.014 μM and 0.003 μM, respectively [1].

CAY10746 (0.1, 1 and 10 μM; 0.25, 1, 2 and 4 h) inhibits ROCK kinase activity in SH-SY5Y cells[1].

CAY10746 (1 μM; 24 h, 36 h) inhibits endothelial cell migration in vitro[1].

CAY10746 (1 μM; 5 days) protects retinal neurons from high glucose-induced oxidative stress and apoptosis-mediated cell death[1].

CAY10746 (1 μM; 5 days) suppresses the improper proliferation of Müller cells and promoted the regression of vascular vessels in retinal explants cultured in a high glucose microenvironment[1].

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

Western Blot Analysis[1]

Cell Line:	SH-SY5Y cells
Concentration:	0.1, 1 and 10 μM; 10 μM
Incubation Time:	2 h; 0.25, 1, 2 and 4 h
Result:	Inhibited the phosphorylation of MYPT1 but did not impact the MYPT1 expression in dose-dependence and time- dependence.

Cell Proliferation Assay[1]

Cell Line:	SH-SY5Y cells
Concentration:	1 μM
Incubation Time:	5 days
Result:	Significantly protected the cells from death.

Cell Migration Assay [1]

Cell Line:	HUVEC cells
Concentration:	1 μM
Incubation Time:	24 h, 36 h
Result:	Significantly reduced migrating cell numbers and significantly reduce the rate of wound healing at 24 h and 36 h.

Apoptosis Analysis[1]

Cell Line:	ex vivo DR model
Concentration:	1 μM
Incubation Time:	5 days
Result:	Significantly protected neuronal cells from death.

REFERENCES

[1]. Lanying Zhao, et al. Discovery of 4 H-Chromen-4-one Derivatives as a New Class of Selective Rho Kinase (ROCK) Inhibitors, which Showed Potent Activity in ex Vivo Diabetic Retinopathy Models. J Med Chem. 2019 Dec 12;62(23):10691-10710.

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