Rimacalib

Cat. No.: HY-100779

CAS No.: 215174-50-8

Molecular Formula: $C_{22}H_{23}FN_4O_2$

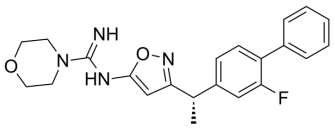
Molecular Weight: 394.44

Target: CaMK; Autophagy

Pathway: Neuronal Signaling; Autophagy

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 50 mg/mL (126.76 mM)

Ethanol : 16.67 mg/mL (42.26 mM; Need ultrasonic)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5352 mL	12.6762 mL	25.3524 mL
5 mM	0.5070 mL	2.5352 mL	5.0705 mL
10 mM	0.2535 mL	1.2676 mL	2.5352 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 (5.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Rimacalib (SMP 114) is a Ca^{2+}/calmodulin-dependent protein kinase II (CaMKII) inhibitor, with IC_{50}s of ~1 μM for CaMKIIα to ~30 μM for CaMKIIγ[1].

IC_{50} & Target

CaMK IIα	CaMK IIγ
1 μM (IC_{50})	30 μM (IC_{50})

In Vitro	Rimacalib (SMP-114) improves (by ~40%) Ca^{2+}-transient potentiation during the 30 s stimulation pause, higher Fura-2 transient amplitude after the pause upon Rimacalib vs. 37.2±4.3% in control, n=60/17 cells/mice vs. n=65/17, p<0.05) and in parallel cardiomyocyte contractility (135.0±15.4% vs. 97.2±16% increase of twitch amplitude, p=0.098)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Cardiomyocytes from mice are pre-incubated with Rimacalib (10 μM) for at least 15 min by including the dye in the loading buffer for Ca^{2+}-fluorescent dyes or by pre-incubation in experimental solution for 15 min (patch-clamp experiments)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Neef S, et al. Reduction of SR Ca2+ leak and arrhythmogenic cellular correlates by SMP-114, a novel CaMKII inhibitor with oral bioavailability. Basic Res Cardiol. 2017 Jul;112(4):45.

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