Reldesemtiv

Cat. No.: HY-109121

CAS No.: 1345410-31-2

Molecular Formula: $C_{19}H_{18}F_2N_6O$

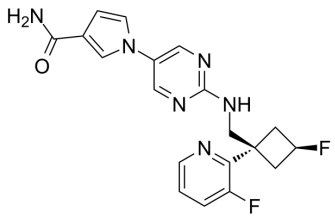
Molecular Weight: 384.38

Target: Others

Pathway: Others

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 : 250 mg/mL (650.40 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6016 mL	13.0080 mL	26.0159 mL
5 mM	0.5203 mL	2.6016 mL	5.2032 mL
10 mM	0.2602 mL	1.3008 mL	2.6016 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 (5.41 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.41 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Reldesemtiv (CK-2127107) is a selective, orally active and next-generation fast skeletal muscle troponin activator (FSTA). Reldesemtiv selectively activates fast skeletal myofibrils with an EC_{50} of 3.4 μM. Reldesemtiv increases exercise performance in a heart failure model[1].

In Vitro

Reldesemtiv selectively binds to and sensitizes the fast skeletal troponin complex to calcium[1].

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

In Vivo

Reldesemtiv (10 mg/kg; p.o.) significantly improves rotarod performance in exercise-intolerant LAD-HF rats[1].
Reldesemtiv (10 mg/kg; i.v.) increases Ca^{2+} sensitivity in fast skeletal muscle fibers and increases muscle force in response to submaximal stimulation frequencies in LAD-HF rats[1].
Reldesemtiv increases sarcomeric Ca^{2+} sensitivity in skinned LAD-HF skeletal fibers[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female Sprague-Dawley rats (Sham and left anterior descending coronary artery (LAD) heart failure (LAD-HF))[1]
Dosage:	10 mg/kg
Administration:	p.o.
Result:	Increased performance time by approximately 150% in LAD-HF rats (116±22 versus 283±47 seconds).

REFERENCES

[1]. Hwee DT, et al. The small-molecule fast skeletal troponin activator, CK-2127107, improves exercise tolerance in a rat model of heart failure. J Pharmacol Exp Ther. 2015 Apr;353(1):159-68.

[2]. Andrews JA, et al. CK-2127107 amplifies skeletal muscle response to nerve activation in humans. Muscle Nerve. 2018 May;57(5):729-734.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA