Omecamtiv mecarbil

Cat. No.: HY-14233

CAS No.: 873697-71-3

Molecular Formula: $C_{20}H_{24}FN_5O_3$

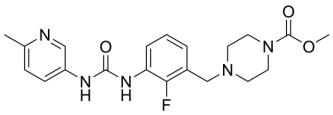
Molecular Weight: 401.43

Target: Myosin

Pathway: Cytoskeleton

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 20 mg/mL (49.82 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4911 mL	12.4555 mL	24.9109 mL
	5 mM	0.4982 mL	2.4911 mL	4.9822 mL
	10 mM	0.2491 mL	1.2455 mL	2.4911 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: ≥ 2.5 mg/mL (6.23 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.23 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Omecamtiv mecarbil (CK-1827452) is a selective cardiac myosin activator.

In Vitro

Omecamtiv mecarbil (10 μM) reduces the maximal ATPase (k_{cat}) 4.5-fold and dramatically reduces the actin concentration at which ATPase is half-maximal (K_{ATPase}) 30-fold. The Omecamtiv mecarbil-induced inhibition of the actin-activated ATPase is evaluated in a concentration-dependent manner to determine the EC_{50} (0.52 ± 0.10 μM). Omecamtiv mecarbil does not change the overall actin affinity. Omecamtiv mecarbil traps a population of myosin heads in a weak actin affinity state with slow product release. Omecamtiv mecarbil can reduce the actin sliding velocity more than 100-fold in the in vitro motility assay[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Omecamtiv mecarbil (100-1000 ng/mL) demonstrates concentration-dependent increases in FS in Sprague?Dawley rats model. Omecamtiv mecarbil demonstrates good PK parameters in both rats (Sprague?Dawley) and dogs (Beagle) with clearances of 22 and 7.2 mL/min/kg, volumes of 3.5 and 3.6 L/kg, and bioavailabilities (F%) of 100 and 80%, respectively[1]. Omecamtiv mecarbil does not affect the phosphorylation status of myofilament proteins in both WT and KO hearts as shown by the absence of significant differences between pre and post Omecamtiv mecarbil samples within WT and KO groups, or affect the force generation at maximal Ca^{2+} activation (pCa 4.5) in any of the groups. Omecamtiv mecarbil increases the responsiveness of the cardiac myofilaments to Ca^{2+} at submaximal Ca^{2+}-activations[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Biomedicines. 2023 May 3, 11(5), 1351.
- J Gen Physiol. 2023 Mar 6;155(3):e202113054.
- J Mol Cell Cardiol Plus. 2023 Sep, 5, 100040.

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REFERENCES

[1]. Morgan BP, et al. Discovery of omecamtiv mecarbil the first, selective, small molecule activator of cardiac Myosin. ACS Med Chem Lett. 2010 Aug 20;1(9):472-7.

[2]. Mamidi R, et al. Molecular effects of the myosin activator omecamtiv mecarbil on contractile properties of skinned myocardium lacking cardiac myosin binding protein-C. J Mol Cell Cardiol. 2015 Aug;85:262-72.

[3]. Swenson AM, et al. Omecamtiv Mecarbil Enhances the Duty Ratio of Human β-Cardiac Myosin Resulting in Increased Calcium Sensitivity and Slowed Force Development in Cardiac Muscle. J Biol Chem. 2017 Mar 3;292(9):3768-3778.

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