Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Omecamtiv mecarbil

Catalog No: tcsc0460

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
873697-71-3

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

Pathway:
Cytoskeleton

Target:
Myosin

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
CK-1827452

Observed Molecular Weight:
401.43

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Product Description

Omecamtiv mecarbil is a selective **myosin** activator, used for the treatment of cardiovascular diseases.

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].

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].