**Proteins** 

# Inhibitors

# (+)-EMD 57033

Cat. No.: HY-106844A CAS No.: 147527-31-9

Molecular Formula:  $C_{22}H_{23}N_3O_4S$ 

Molecular Weight: 426 Target: Others Pathway: Others

Storage: Powder -20°C 3 years

4°C 2 years

-80°C In solvent 6 months

> -20°C 1 month

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**Product** Data Sheet

## **BIOLOGICAL ACTIVITY**

Description	(+)-EMD 57033 is a cardiac troponin C (cTnC) activator, is a dominant Ca <sup>2+</sup> sensitizer. (+)-EMD 57033 binds the cardiac/slow skeletal troponin C isoform and exerts myocardial contractile promotion function <sup>[1]</sup> .		
IC <sub>50</sub> & Target	Cardiac troponin C (cTnC) $^{[1]}$		
In Vitro	(+)-EMD 57033 (30 μM) recovers the activation and sensitivity of $Ca^{2+}$ in pig single muscle fibres and reduces VIDD (ventilator-induced diaphragm muscle fibre dysfunction) of $^{[2]}$ . (+)-EMD 57033 (5.0-5.8 μM; 10-15 min) significantly increases the coronary blood flow and myocardial $Vo_2$ ( $O_2$ consumption) in both 100 bpm and 150 bpm heart rates of rabbit heart, with a $[Ca^{2+}]_0$ concentration-dependent manner ( $[Ca^{2+}]_0$ =1.0 or 2.5 mM) $^{[3]}$ . (+)-EMD 57033 (5.0-5.8 μM; 10-15 min) increases left ventricular (LV) end-diastolic pressure and prolongs relaxation $^{[3]}$ . MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
In Vivo	(+)-EMD 57033 (0.4 or 0.8 mg/kg/min; i.v.drip; over than 20 min) enhances contractility and achieves Ca <sup>2+</sup> sensitization in intact failing hearts at substantial energetic savings and without compromise of diastolic function in dogs <sup>[4]</sup> .  MCE has not independently confirmed the accuracy of these methods. They are for reference only.		
	Animal Model:	Mongrel dogs implanted with a micromanometer in left ventricle (LV) at the apex via lateral thoracotomy $^{[4]}$	
	Dosage:	0.4 or 0.8 mg/kg/min	
	Administration:	Intravenous drip; infused over 20 minutes	
	Result:	Enhanced contractility at both doses, with similar changes in CON (conscious dogs) and HF (heart failure dogs) hearts.  Decreased the end-diastolic pressure (EDP) and lowered arterial load or preload at 0.8 mg/kg/min.	

### **REFERENCES**

- [1]. Wang X, et al. Structure of the C-domain of human cardiac troponin C in complex with the Ca2+ sensitizing drug EMD 57033. J Biol Chem. 2001 Jul 6;276(27):25456-66.
- [2]. Ochala J, et al. EMD 57033 partially reverses ventilator-induced diaphragm muscle fibre calcium desensitisation. Pflugers Arch. 2010 Feb;459(3):475-83.
- [3]. Hgashiyama A, et al. Effects of EMD 57033 on contraction and relaxation in isolated rabbit hearts. Circulation. 1995 Nov 15;92(10):3094-104.
- [4]. Senzaki H, et al. Improved mechanoenergetics and cardiac rest and reserve function of in vivo failing heart by calcium sensitizer EMD-57033. Circulation. 2000 Mar 7;101(9):1040-8.

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

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