JTV-519

MedChemExpress

Cat. No.:	HY-15293			
CAS No.:	1038410-88-6			
Molecular Formula:	C ₂₅ H ₃₃ ClN ₂ O ₂ S	N		
Molecular Weight:	461.06		N O	
Target:	Calcium Channel		s	
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling		HCI	
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.			

BIOLOGICAL ACTIVITY				
Description	JTV-519 (K201) is a Ca ²⁺ -dependent blocker of sarcoplasmic reticulum Ca ²⁺ -stimulated ATPase (SERCA) and a partial agonist of ryanodine receptors in striated muscle. Antiarrhythmic and cardioprotective properties ^{[1][2]} .			
In Vitro	JTV-519 (K201) inhibits inward Ca ²⁺ movement into large unilamellar vesicles (LUV) caused by annexin V in a dose- dependent manner. In the presence of 50 nM annexin V and 400 μM Ca ²⁺ , 3 μM JTV-519 shows significant inhibition of Ca ²⁺ movement due to annexin V, and 50% inhibition is achieved at 25 μM K201 ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
In Vivo	JTV-519 (0.5mg/kg/h, i.v., 2 h before the surgery) improves cardiac function in CLP mice, where the fractional shortening (FS) and ejection fraction (EF) are significantly increased as compared with CLP mice without JTV-519 treatment ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			
	Animal Model:	Wild type male C57BL/6 mice weighing 18-22g with polymicrobial sepsis produced by cecal ligation and puncture (CLP) $^{\rm [3]}$		
	Dosage:	0.5 mg/kg/h		
	Administration:	Applied intraperitoneally 2 h before the surgery		
	Result:	Improved cardiac function, where the EF and FS were significantly increased.		

REFERENCES

[1]. Darcy YL, et al. K201 (JTV519) is a Ca²⁺-Dependent Blocker of SERCA and a Partial Agonist of Ryanodine Receptors in Striated Muscle. Mol Pharmacol. 2016 Aug;90(2):106-15.

[2]. Kaneko N, et al. Inhibition of annexin V-dependent Ca2+ movement in large unilamellar vesicles by K201, a new 1,4-benzothiazepine derivative. Biochim Biophys Acta. 1997 Nov 13;1330(1):1-7.

[3]. Yang J, et al. Toll-like receptor 4-induced ryanodine receptor 2 oxidation and sarcoplasmic reticulum Ca²⁺ leakage promote cardiac contractile dysfunction in sepsis. J Biol Chem. 2018 Jan 19;293(3):794-807.

Inhibitors

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Screening Libraries

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Proteins

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