Proteins

JTV-519 free base

Cat. No.: HY-15293A CAS No.: 145903-06-6 $C_{25}H_{32}N_2O_2S$ Molecular Formula:

Molecular Weight: 424.6

Calcium Channel Target:

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

Product Data Sheet

BIOLOGICAL ACTIVITY

Description	JTV-519 free base (K201 free base) 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 μ M Ca ²⁺ , 3 μ M JTV-519 shows significant inhibition of Ca ²⁺ movement due to annexin V, and 50% inhibition is achieved at 25 μ M 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) $^{[3]}$
	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 \ Ca^{2+}-Dependent \ Blocker \ of \ SERCA \ and \ a \ Partial \ Agonist \ of \ Ryanodine \ Receptors \ in \ Striated \ Muscle. \ Mol \ Pharmacol. \ 2016 \ and \ 2016 \$

[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.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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