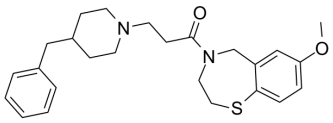
JTV-519 free base

Cat. No.:	HY-15293A
CAS No.:	145903-06-6
Molecular Formula:	$C_{25}H_{32}N_2O_2S$
Molecular Weight:	424.6
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



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 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)[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 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 Ca^{2+} leakage promote cardiac contractile dysfunction in sepsis. J Biol Chem. 2018 Jan 19;293(3):794-807.

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

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