# JTV-519 hemifumarate

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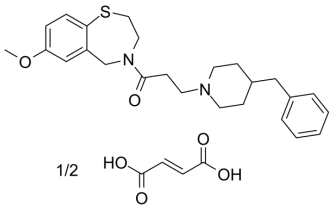
| **Cat. No.:** | HY-15293B |
| **CAS No.:** | 1435938-25-2 |
| **Molecular Formula:** | $C_{25}H_{32}N_2O_2S.1/2C_4H_4O_4$ |
| **Molecular Weight:** | 482.64 |
| **Target:** | Calcium Channel |
| **Pathway:** | Membrane Transporter/Ion Channel; Neuronal Signaling |
| **Storage:** | -20°C, sealed storage, away from moisture<br>* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture) |




## BIOLOGICAL ACTIVITY

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| **Description** | JTV-519 hemifumarate (K201 hemifumarate) 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].<br>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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| 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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