JTV-519 hemifumarate

Cat. No.: HY-15293B

CAS No.: 1435938-25-2

Molecular Formula: $C_{25}H_{32}N_2O_2S.{}_1/_2C_4H_4O_4$

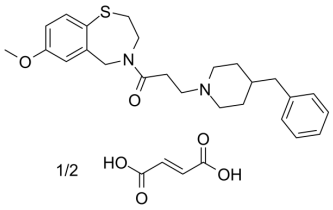
Molecular Weight: 482.64

Target: Calcium Channel

Pathway: Membrane Transporter/Ion Channel; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (207.19 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0719 mL	10.3597 mL	20.7194 mL
	5 mM	0.4144 mL	2.0719 mL	4.1439 mL
	10 mM	0.2072 mL	1.0360 mL	2.0719 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

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].

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.

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