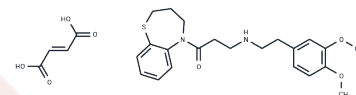


KT-362 fumarate

Chemical Properties

CAS No. : 105394-80-7
 Formula: C₂₆H₃₂N₂O₇S
 Molecular Weight: 516.61
 Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
 Actual storage temperature shall be subject to the COA.



Biological Description

Description	KT-362 fumarate is a novel compound that acts as an antagonist of calcium channels, potassium channels and sodium channels. KT-362 fumarate causes vasodilation by affecting intracellular calcium mobilization in atrial muscle cells. KT-362 fumarate has a relaxing effect on femoral and basilar artery strips in rabbits.
Targets(IC50)	Calcium Channel, Potassium Channel, Sodium Channel
In vitro	We studied the effects of KT-362, (5-[3[2-(3,4-dimethoxyphenyl)ethyl]amino]-1-oxopropyl]-2,3,4,5-tetrahydro-1,5-benzothiazepine fumarate), a newly synthesized vasodilating and antiarrhythmic agent, on membrane currents of single guinea pig ventricular cells, using whole-cell voltage-clamp techniques. In the steady state with a stimulation frequency of 0.5 Hz, KT-362 at concentrations of 10 and 30 microM decreased the peak sodium current (I _{Na}) in a concentration-dependent manner, i.e., by 27% and 49%, respectively. KT-362 (30 microM) inhibited I _{Na} by 21% at 0.2 Hz and by 51% at 1 Hz. In addition, KT-362 (10-30 microM) decreased the L-type Ca current (I _{Ca}) in a concentration-dependent fashion. KT-362 (10-300 microM) decreased the maximum rate of rise of action potentials provoked at normal (2.7 mM) K ⁺ concentration and that provoked at high (20 mM) K ⁺ concentration. KT-362 at concentrations over 100 microM significantly depolarized the resting membrane, and the action potential duration remained unaltered. From these findings, we conclude that apart from the alleged inhibitory effects of this agent on the release of calcium from sarcoplasmic reticulum (it is therefore termed 'an intracellular Ca ⁺⁺ blocker'), KT-362 suppresses a variety of membrane ionic currents of cardiac cells. (ABSTRACT TRUNCATED AT 250 WORDS). [1]
In vivo	The effects of a new intracellular calcium antagonist, KT-362 (5-[3-[[2-(3,4-dimethoxyphenyl)-ethyl]amino]-1-oxopropyl]-2,3,4,5-tetrahydro-1,5-benzothiazepine fumarate) on myocardial infarct size following a 90-min occlusion and 3-h reperfusion of the left anterior descending coronary artery (LAD) were determined in anesthetized dogs. KT-362 (300 micrograms/kg/min for 20 min followed by 150 micrograms/kg/min for 80 min) was administered intravenously (i.v.) 10 min prior to coronary occlusion and continued throughout the occlusion period in separate experimental groups. KT-362 produced a reduction in heart rate (HR) and the HR-systolic pressure product. Mean arterial pressure (MAP) was reduced during occlusion and early reperfusion in the KT-362-treated group, and segment function (% segment shortening) was improved during the first hour of reperfusion. As compared with the control group, KT-362 produced a marked reduction in myocardial infarct size. [3]

Solubility Information

Solubility	DMSO: 5.17 mg/mL (10.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9357 mL	9.6785 mL	19.357 mL
5 mM	0.3871 mL	1.9357 mL	3.8714 mL
10 mM	0.1936 mL	0.9678 mL	1.9357 mL
50 mM	0.0387 mL	0.1936 mL	0.3871 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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