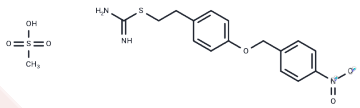
Data Sheet (Cat.No.T6144)

TargetMol

KB-R7943 mesylate

Chemical Properties



CAS No. : 182004-65-5

Formula: C17H21N3O6S2

Molecular Weight: 427.5

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	KB-R7943 mesylate is a widely used inhibitor of the reverse Na+/Ca2+ exchanger (NCXrev), with an IC50 of 5.7±2.1 μM.
Targets(IC50)	Autophagy,Na+/Ca2+ Exchanger
In vitro	KB-R7943 inhibited NCX(rev) with IC(50) = 5.7 ± 2.1 μM, blocked NMDAR-mediated ion currents, and inhibited NMDA-induced increase in cytosolic Ca(2+) with IC(50) = 13.4 ± 3.6 μM but accelerated calcium deregulation and mitochondrial depolarization in glutamate-treated neurons.?KB-R7943 depolarized mitochondria in a Ca(2+) -independent manner.?Stimulation of NMDA receptors caused NAD(P)H oxidation that was coupled or uncoupled from ATP synthesis depending on the presence of Ca(2+) in the bath solution.?KB-R7943, or rotenone, increased NAD(P)H autofluorescence under resting conditions and suppressed NAD(P)H oxidation following glutamate application.?KB-R7943 inhibited 2,4-dinitrophenol-stimulated respiration of cultured neurons with IC(50) = 11.4 ± 2.4 μM.?With isolated brain mitochondria, KB-R7943 inhibited respiration, depolarized organelles and suppressed Ca(2+) uptake when mitochondria oxidized complex I substrates but was ineffective when mitochondria were supplied with succinate, a complex II substrate[1].
Cell Research	Fluorescence microscopy, electrophysiological patch-clamp techniques and cellular respirometry with Seahorse XF24 analyzer were used with cultured hippocampal neurons;?membrane potential imaging, respirometry and Ca(2+) flux measurements were made in isolated rat brain mitochondria[1].

Solubility Information

Solubility	DMSO: 250 mg/mL (584.8 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (4.68 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.3392 mL	11.6959 mL	23.3918 mL
5 mM	0.4678 mL	2.3392 mL	4.6784 mL
10 mM	0.2339 mL	1.1696 mL	2.3392 mL
50 mM	0.0468 mL	0.2339 mL	0.4678 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

Brustovetsky T , Brittain M K , Sheets P L , et al. KB-R7943, an inhibitor of the reverse Na+ /Ca2+ exchanger, blocks N-methyl-D-aspartate receptor and inhibits mitochondrial complex I.[J]. British Journal of Pharmacology, 2015, 162 (1):255-270.

Barrientos G , Bose D D , Feng W , et al. The Na+/Ca2+ Exchange Inhibitor 2-(2-(4-(4-Nitrobenzyloxy)phenyl)ethyl) isothiourea Methanesulfonate (KB-R7943) Also Blocks Ryanodine Receptors Type 1 (RyR1) and Type 2 (RyR2) Channels[J]. Molecular Pharmacology, 2009, 76(3):560-568.