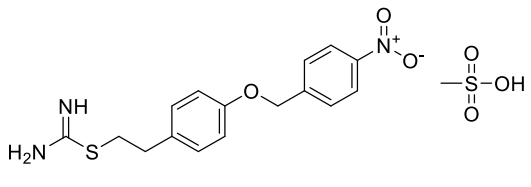
Product data sheet

MedKoo Cat#: 522400 Name: KB-R7943 mesylate CAS#: 182004-65-5 (mesylate) Chemical Formula: $C_{17}H_{21}N_3O_6S_2$ Exact Mass: 427.08718 Molecular Weight: 427.49	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
KB-R7943 is a potent, selective inhibitor of the reverse mode of the Na+/Ca2+ exchanger (IC50 = 0.7 μM). KB-R7943 does not modify secondary pathology in the thalamus following focal cerebral stroke in rats. KB-R7943 blocks opening of the mitochondrial permeability transition pore. KB-R7943 restores endothelium-dependent relaxation induced by advanced glycosylation end products in rat aorta. KB-R7943 inhibits high glucose-induced endothelial ICAM-1 expression and monocyte-endothelial adhesion.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	27	63.16

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.34 mL	11.70 mL	23.39 mL
5 mM	0.47 mL	2.34 mL	4.68 mL
10 mM	0.23 mL	1.17 mL	2.34 mL
50 mM	0.05 mL	0.23 mL	0.47 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Namekata I, Odaka R, Hamaguchi S, Tanaka H. KB-R7943 Inhibits the Mitochondrial Ca2+ Uniporter but Not Na+-Ca2+ Exchanger in Cardiomyocyte-Derived H9c2 Cells. Biol Pharm Bull. 2020 Dec 1;43(12):1993-1996. doi: 10.1248/bpb.b20-00747. Epub 2020 Oct 6. PMID: 33028749.

2. Long Z, Chen B, Liu Q, Zhao J, Yang Z, Dong X, Xia L, Huang S, Hu X, Song B, Li L. The reverse-mode NCX1 activity inhibitor KB-R7943 promotes prostate cancer cell death by activating the JNK pathway and blocking autophagic flux. Oncotarget. 2016 Jul 5;7(27):42059-42070. doi: 10.18632/oncotarget.9806. PMID: 27275542; PMCID: PMC5173116.

In vivo study

1. Long Z, Chen B, Liu Q, Zhao J, Yang Z, Dong X, Xia L, Huang S, Hu X, Song B, Li L. The reverse-mode NCX1 activity inhibitor KB-R7943 promotes prostate cancer cell death by activating the JNK pathway and blocking autophagic flux. Oncotarget. 2016 Jul 5;7(27):42059-42070. doi: 10.18632/oncotarget.9806. PMID: 27275542; PMCID: PMC5173116.

2. Su Y, Mao N, Li M, Dong X, Lin FZ, Xu Y, Li YB. KB-R7943 restores endothelium-dependent relaxation induced by advanced glycosylation end products in rat aorta. J Diabetes Complications. 2013 Jan-Feb;27(1):6-10. doi: 10.1016/j.jdiacomp.2012.08.007. Epub 2012 Sep 27. PMID: 23021774.

7. Bioactivity

Biological target:

KB-R7943 mesylate is a widely used inhibitor of the reverse Na+/Ca2+ exchanger (NCX(rev)) with IC50 of 5.7 μM.

In vitro activity

The effect of KB-R7943, an inhibitor of the plasmalemmal Na+-Ca2+ exchanger, on mitochondrial Ca2+ transporters was examined with membrane-permeabilized cardiomyocyte-derived H9c2 cells expressing the fluorescent Ca2+ indicator, yellow cameleon 3.1, in the mitochondria. KB-R7943, as well as ruthenium red, inhibited the rise in mitochondrial Ca2+ on increasing the extramitochondrial Ca2+ concentration from 0 nM to 300 nM. CGP-37157, but not KB-R7943, inhibited the decline in mitochondrial Ca2+on return to Ca2+ free extramitochondrial solution. These results indicated that KB-R7943 has inhibitory effects on the mitochondrial Ca2+ uniporter, but not on the mitochondrial Na+-Ca2+ exchanger.

Reference: Biol Pharm Bull. 2020 Dec 1;43(12):1993-1996. https://dx.doi.org/10.1248/bpb.b20-00747

In vivo activity

To confirm that KB-R7943 had the same effect in vivo, PC3 cells were subcutaneously injected into male nude mice. KB-R7943 inhibited the growth of tumors resulting from PC3 cell xenografts (Figure 6A). More importantly, Ki-67 levels decreased and LC3 and caspase 3 levels increased (Figure 6B), indicating that KB-R7943 inhibited tumor growth by inducing autophagosome accumulation and apoptosis.

Reference: Oncotarget. 2016 Jul 5;7(27):42059-42070. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/27275542/