

# KB-R7943 (mesylate)

## Catalog No: tcsc0848

**Available Sizes** 

Size: 10mg

Size: 50mg

🗐 Sp

**Specifications** 

**CAS No:** 182004-65-5

#### Formula:

 $C_{17}H_{21}N_{3}O_{6}S_{2}$ 

Pathway: Membrane Transporter/Ion Channel

#### **Target:**

Na+/Ca2+ Exchanger

#### **Purity / Grade:**

>98%

## **Observed Molecular Weight:**

427.5

## **Product Description**

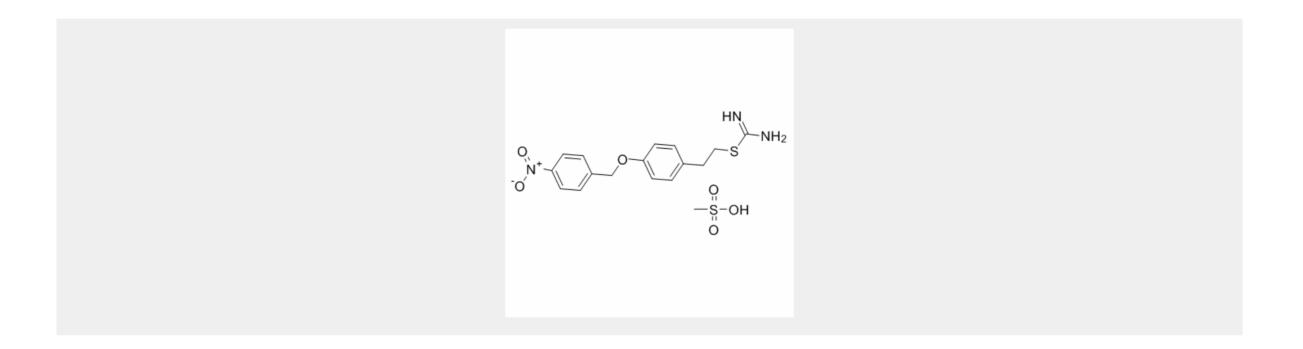
KB-R7943 mesylate is a widely used inhibitor of the reverse  $Na^+/Ca^{2+}$  exchanger (NCX<sub>rev</sub>) with IC<sub>50</sub> of 5.7±2.1  $\mu$ M.

IC50 & Target: IC50: 5.7 $\pm$ 2.1  $\mu$ M (Na<sup>+</sup>/Ca<sup>2+</sup> exchanger)<sup>[1]</sup>

In Vitro: KB-R7943 mesylate blocks NMDAR-mediated ion currents, and inhibits NMDA-induced increase in cytosolic Ca<sup>2+</sup> with IC<sub>50</sub> = 13.4 $\pm$ 3.6  $\mu$ M but accelerates calcium deregulation and mitochondrial depolarization in glutamate-treated neurons. KB-R7943



depolarizes mitochondria in a Ca<sup>2+</sup>-independent manner. KB-R7943 inhibits 2,4-dinitrophenol-stimulated respiration of cultured neurons with IC<sub>50</sub>=11.4±2.4  $\mu$ M. In addition to NCX<sub>rev</sub>, KB-R7943 dose-dependently and reversibly blocked ion currents elicited by NMDA. KB-R7943 dose-dependently inhibits NMDA-induced increases in [Ca<sup>2+</sup>]<sub>c</sub> with IC<sub>50</sub>=13.4±3.6  $\mu$ M confirming the inhibition of NMDA receptors observed in electrophysiological experiments<sup>[1]</sup>. <sub>wt</sub>RyR1-HEK 293 pretreated with KB-R7943 (10  $\mu$ M, 10 min) dissolved in the bulk perfusion exhibited significantly attenuated responses to caffeine. In this regard, KB-R7943 produced more pronounced inhibition of caffeine-induced Ca<sup>2+</sup> release elicited by 1 mM compared with 0.5 and 0.75 mM (60 versus 58 versus 37%, p[2]. KB-R7943 inhibits both I<sub>hERG</sub> and native I<sub>Kr</sub> rapidly on membrane depolarization with IC<sub>50</sub> values of ~89 and ~120 nM, respectively, for current tails at -40 mV following depolarizing voltage commands to +20 mV. I<sub>hERG</sub> inhibition by KB-R7943 exhibits both time- and voltage-dependence but shows no preference for inactivated over activated channels<sup>[3]</sup>.



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