

KB-R7943 (mesylate)

Catalog No: tcsc0848



Available Sizes

Size: 10mg

Size: 50mg



Specifications

CAS No:

182004-65-5

Formula:

$C_{17}H_{21}N_3O_6S_2$

Pathway:

Membrane Transporter/Ion Channel

Target:

Na⁺/Ca²⁺ Exchanger

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 27 mg/mL (63.16 mM); H₂O : 4.3 mg/mL (10.06 mM; Need warming)

Observed Molecular Weight:

427.5

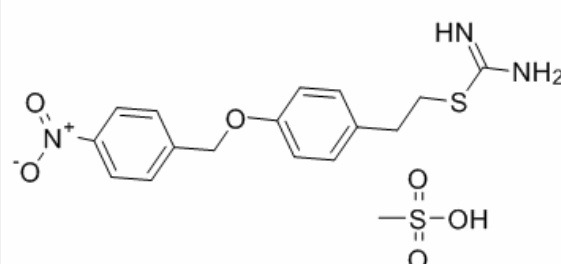
Product Description

KB-R7943 mesylate is a widely used inhibitor of the reverse **Na⁺/Ca²⁺ exchanger** (NCX_{rev}) with **IC₅₀** of 5.7±2.1 μM.

IC₅₀ & Target: IC₅₀: 5.7±2.1 μM (Na⁺/Ca²⁺ exchanger)^[1]

In Vitro: KB-R7943 mesylate blocks NMDAR-mediated ion currents, and inhibits NMDA-induced increase in cytosolic Ca²⁺ with IC₅₀ =13.4±3.6 μM but accelerates calcium deregulation and mitochondrial depolarization in glutamate-treated neurons. KB-R7943

depolarizes mitochondria in a Ca^{2+} -independent manner. KB-R7943 inhibits 2,4-dinitrophenol-stimulated respiration of cultured neurons with $\text{IC}_{50}=11.4\pm 2.4\text{ }\mu\text{M}$. In addition to NCX_{rev} , KB-R7943 dose-dependently and reversibly blocked ion currents elicited by NMDA. KB-R7943 dose-dependently inhibits NMDA-induced increases in $[\text{Ca}^{2+}]_{\text{c}}$ with $\text{IC}_{50}=13.4\pm 3.6\text{ }\mu\text{M}$ confirming the inhibition of NMDA receptors observed in electrophysiological experiments^[1]. wt RyR1-HEK 293 pretreated with KB-R7943 (10 μM , 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^{2+} 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_{hERG} and native I_{Kr} rapidly on membrane depolarization with IC_{50} values of ~ 89 and $\sim 120\text{ nM}$, respectively, for current tails at -40 mV following depolarizing voltage commands to $+20\text{ mV}$. I_{hERG} inhibition by KB-R7943 exhibits both time- and voltage-dependence but shows no preference for inactivated over activated channels^[3].



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