

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

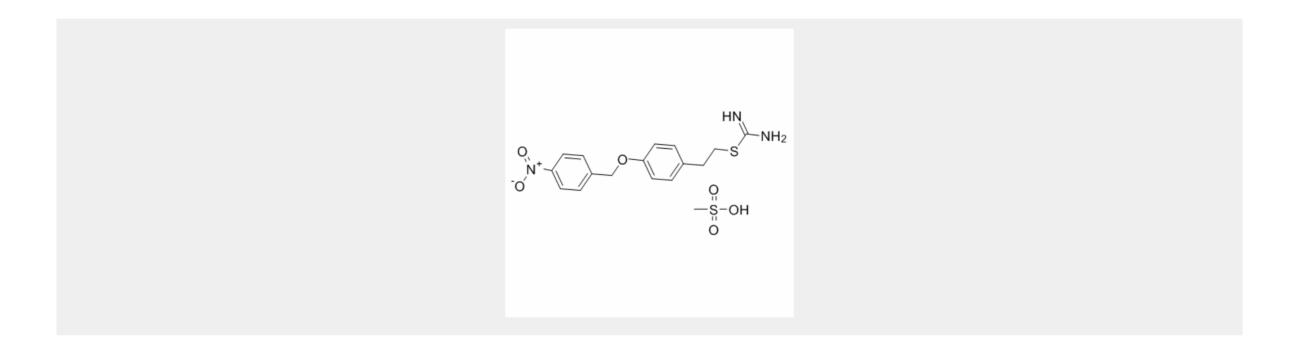
KB-R7943 mesylate is a widely used inhibitor of the reverse Na^+/Ca^{2+} exchanger (NCX_{rev}) with IC₅₀ of 5.7±2.1 μ M.

IC50 & Target: IC50: 5.7 \pm 2.1 μ M (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 \pm 3.6 μ M but accelerates calcium deregulation and mitochondrial depolarization in glutamate-treated neurons. KB-R7943



depolarizes mitochondria in a Ca²⁺-independent manner. KB-R7943 inhibits 2,4-dinitrophenol-stimulated respiration of cultured neurons with IC₅₀=11.4±2.4 μ 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 [Ca²⁺]_c with IC₅₀=13.4±3.6 μ M confirming the inhibition of NMDA receptors observed in electrophysiological experiments^[1]. _{wt}RyR1-HEK 293 pretreated with KB-R7943 (10 μ 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 Ca²⁺ 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 IC₅₀ values of ~89 and ~120 nM, respectively, for current tails at -40 mV following depolarizing voltage commands to +20 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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