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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^+/Ca^{2+} exchanger** (NCX_{rev}) with **IC_{50}** of 5.7±2.1 μM.

IC50 & Target: IC50: 5.7±2.1 μM (Na^+/Ca^{2+} exchanger)[1]

In Vitro: KB-R7943 mesylate blocks NMDAR-mediated ion currents, and inhibits NMDA-induced increase in cytosolic Ca^{2+} with IC_{50} =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 IC_{50}=11.4±2.4 μM. 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 $[Ca^{2+}]_c$ with IC_{50}=13.4±3.6 μM 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 ~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].