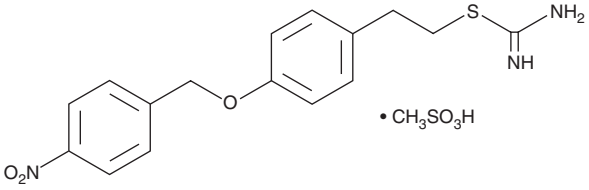
PRODUCT INFORMATION

KB-R7943 (mesylate)

Item No. 16352

CAS Registry No.: 182004-65-5
Formal Name: carbamimidothioic acid, 2-[4-[(4-nitrophenyl)methoxy]phenyl] ethyl ester, monomethanesulfonate
MF: $C_{16}H_{17}N_3O_3S \bullet CH_3SO_3H$
FW: 427.5
Purity: ≥98%
UV/Vis.: λ_{max}: 223, 269 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

KB-R7943 (mesylate) is supplied as a crystalline solid. A stock solution may be made by dissolving the KB-R7943 (mesylate) in the solvent of choice, which should be purged with an inert gas. KB-R7943 (mesylate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of KB-R7943 (mesylate) in these solvents is approximately 30 mg/ml.

KB-R7943 (mesylate) is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, KB-R7943 (mesylate) should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. KB-R7943 (mesylate) has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

The Na^+/Ca^{2+} exchanger (NCX) is a major regulator of intracellular calcium concentration that is largely expressed in cardiac sarcolemma (NCX1) but also in brain and skeletal muscle (NCX2). KB-R7943 is an isothiourea derivative that selectively inhibits the reverse mode of NCX1 (IC_{50} = 1.2-2.4 µM), preventing intracellular sodium-dependent calcium uptake in whole cells.[1] It is much less potent at preventing extracellular sodium-dependent calcium efflux from intact cells (IC_{50} > 30 µM).[1] In cultured hippocampal neurons, KB-R7943 exhibits neuroprotection from glutamate-induced excitotoxicity by blocking NMDA receptor-mediated activity (IC_{50} = 13.4 µM) and inhibiting complex I in the mitochondrial respiratory chain (IC_{50} = 11.4 µM).[2] KB-R7943 has also been shown to block transient receptor potential canonical channels, which are important mediators of calcium-dependent signal transduction.[3]

References

1. Iwamoto, T., Watano, T., and Shigekawa, M. A novel isothiourea derivative selectively inhibits the reverse mode of Na^+/Ca^{2+} exchange in cells expressing NCX1. *J. Biol. Chem.* **271(37)**, 22391-22397 (1996).
2. Brustovetsky, T., Brittain, M.K., Sheets, P.L., *et al.* KB-R7943, an inhibitor of the reverse Na^+/Ca^{2+} exchanger, blocks N-methyl-D-aspartate receptor and inhibits mitochondrial complex I. *Br. J. Pharmacol.* **162(1)**, 255-270 (2011).
3. Wu, X., Eder, P., Chang, B., *et al.* TRPC channels are necessary mediators of pathologic cardiac hypertrophy. *Proc. Natl. Acad. Sci. USA* **107(15)**, 7000-7005 (2010).

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