

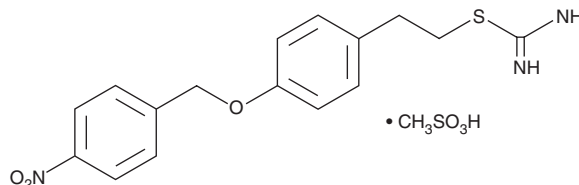
PRODUCT INFORMATION



KB-R7943 (mesylate)

Item No. 16352

CAS Registry No.: 182004-65-5
Formal Name: carbamimidiothioic acid,
2-[4-[(4-nitrophenyl)methoxy]phenyl]
ethyl ester, monomethanesulfonate
MF: C₁₆H₁₇N₃O₃S • CH₃SO₃H
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⁺/Ca²⁺ 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 isothioureia derivative that selectively inhibits the reverse mode of NCX1 (IC₅₀ = 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 (IC₅₀ > 30 μM).¹ In cultured hippocampal neurons, KB-R7943 exhibits neuroprotection from glutamate-induced excitotoxicity by blocking NMDA receptor-mediated activity (IC₅₀ = 13.4 μM) and inhibiting complex I in the mitochondrial respiratory chain (IC₅₀ = 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 isothioureia derivative selectively inhibits the reverse mode of Na⁺/Ca²⁺ 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⁺/Ca²⁺ 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).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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