PRODUCT INFORMATION



KN-93 (hydrochloride)

Item No. 13864

CAS Registry No.: 1956426-56-4

Formal Name: N-[2-[[[3-(4-chlorophenyl)-2-propen-

1-yl]methylamino|methyl]phenyl]-N-(2-hydroxyethyl)-4-methoxy-

benzenesulfonamide, monohydrochloride

MF: C26H29CIN2O4S • HCI

FW: 537.5 **Purity:** ≥98% UV/Vis.: λ_{max} : 252 nm Supplied as: A crystalline solid

-20°C Storage:

Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when

НО

stored properly

Laboratory Procedures

KN-93 (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the KN-93 (hydrochloride) in the solvent of choice. KN-93 (hydrochloride) is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of KN-93 (hydrochloride) in these solvents is approximately 10 mg/ml.

Description

KN-93 is a selective inhibitor of Ca²⁺/calmodulin-dependent kinase type II (CaMKII), competitively blocking CaM binding to the kinase (K_i = 370 nM).¹ It does not affect the activities of PKA, PKC, MLCK, or Ca²⁺-phosphodiesterase.¹ It inhibits histamine-induced aminopyrine uptake in parietal cells $(IC_{50} = 300 \text{ nM}).^2$ More recently, KN-93 has been used to implicate roles for CaMKII in Ca²⁺-induced Ca²⁺ release in cardiac myocytes, constitutive phosphorylation of 5-lipoxygenase in 3T3 cells, and Ca^{2+} -dependent activation of HIF-1 α in colon cancer cells.³⁻⁵

References

- 1. Sumi, M., Kiuchi, K., Ishikawa, T., et al. The newly synthesized selective Ca²⁺/calmodulin dependent protein kinase II inhibitor KN-93 reduces dopamine contents in PC12th cells. Biochem. Biophys. Res. Commun. 181(3), 968-975 (1991).
- 2. Mamiya, N., Goldenring, J.R., Tsunoda, Y., et al. Inhibition of acid secretion in gastric parietal cells by the Ca²⁺/calmodulin-dependent protein kinase II inhibitor KN-93. Biochem. Biophys. Res. Commun. 195(2), 608-615 (1993).
- 3. Oestreich, E.A., Malik, S., Goonasekera, S.A., et al. Epac and phospholipase Cε regulate Ca²⁺ release in the heart by activation of protein kinase CE and calcium-calmodulin kinase II. J. Biol. Chem. 284(3), 1514-1522 (2009).
- 4. Flamand, N., Luo, M., Peters-Golden, M., et al. Phosphorylation of serine 271 on 5-lipoxygenase and its role in nuclear export. J. Biol. Chem. 284(1), 306-313 (2009).
- 5. Riganti, C., Doublier, S., Viarisio, D., et al. Artemisinin induces doxorubicin resistance in human colon cancer cells via calcium-dependent activation of HIF-1α and P-glycoprotein overexpression. Br. J. Pharmacol. 156, 1054-1066 (2009).

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

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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