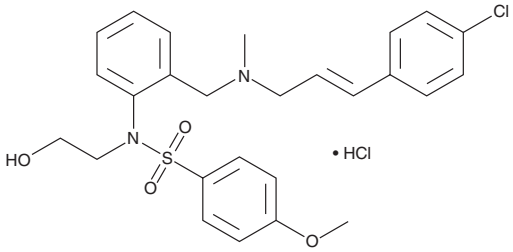
PRODUCT INFORMATION

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: $C_{26}H_{29}ClN_2O_4S \bullet HCl$
FW: 537.5
Purity: ≥98%
UV/Vis.: λ_{max}: 252 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: As supplied, 2 years from the QC date provided on the Certificate of Analysis, when 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 Ca^{2+}/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 Ca^{2+}-phosphodiesterase.[1] It inhibits histamine-induced aminopyrine uptake in parietal cells (IC_{50} = 300 nM).[2] More recently, KN-93 has been used to implicate roles for CaMKII in Ca^{2+}-induced Ca^{2+} release in cardiac myocytes, constitutive phosphorylation of 5-lipoxygenase in 3T3 cells, and Ca^{2+}-dependent activation of HIF-1α in colon cancer cells.[3-5]

References

1. Sumi, M., Kiuchi, K., Ishikawa, T., *et al*. The newly synthesized selective Ca^{2+}/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 Ca^{2+}/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 Ca^{2+} release in the heart by activation of protein kinase Cε 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).

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