# **PRODUCT** INFORMATION



KN-93 (phosphate)

Item No. 21472

CAS Registry No.: Formal Name:	1188890-41-6 N-[2-[[[(2E)-3-(4-chlorophenyl)-2- propen-1-yl]methylamino]methyl] phenyl]-N-(2-hydroxyethyl)-4- methoxy-benzenesulfonamide, monophosphate
MF:	$C_{26}H_{29}CIN_2O_4S \bullet H_3PO_4$
FW:	599.0 + H <sub>3</sub> PO <sub>4</sub>
Purity:	≥98%
UV/Vis.:	$\lambda_{max}$ : 252 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

KN-93 (phosphate) is supplied as a crystalline solid. A stock solution may be made by dissolving the KN-93 (phosphate) in the solvent of choice, which should be purged with an inert gas. KN-93 (phosphate) is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of KN-93 (phosphate) in these solvents is approximately 30 and 25 mg/ml, respectively. KN-93 (phosphate) is slightly soluble in ethanol.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of KN-93 (phosphate) can be prepared by directly dissolving the crystalline solid in aqueous buffers. KN-93 (phosphate) is slightly soluble in PBS (pH 7.2). We do not recommend storing the aqueous solution for more than one day.

# Description

KN-93 (phosphate) is a potent and selective inhibitor of Ca<sup>2+</sup>/calmodulin-dependent kinase II (CaMKII) (K<sub>i</sub> = 370 nM), inhibiting both the a- and  $\beta$ -subunits of CaMKII.<sup>1</sup> It does not have significant effects on cAMP-dependent protein kinase, Ca<sup>2+</sup>/phospholipid-dependent protein kinase, myosin light chain kinase, or Ca<sup>2+</sup> phosphodiesterase activity. It inhibits histamine-induced aminopyrine uptake in parietal cells  $(IC_{50} = 300 \text{ nM})$ .<sup>2</sup> KN-93 can block voltage-gated potassium (K,) channels when applied extracellularly, independent of its CaMKII action.<sup>3</sup> 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<sup>2+</sup>-dependent activation of HIF-1a in colon cancer cells.<sup>4-6</sup>

# References

- 1. Sumi, M., Kiuchi, K., Ishikawa, T., et al. Biochem. Biophys. Res. Commun. 181(3), 968-975 (1991).
- 2. Mamiya, N., Goldenring, J.R., Tsunoda, Y., et al. Biochem. Biophys. Res. Commun. 195(2), 608-615 (1993).
- 3. Rezazadeh, S., Claydon, T.W. and Fedida, D.J. Pharmacol. Exp. Ther. 317(1), 292-299 (2006).
- 4. Oestreich, E.A., Malik, S., Goonasekera, S.A., et al. J. Biol. Chem. 284(3), 1514-1522 (2009).
- 5. Flamand, N., Luo, M., Peters-Golden, M., et al. J. Biol. Chem. 284(1), 306-313 (2009).
- 6. Riganti, C., Doublier, S., Viarisio, D., et al. Br. J. Pharmacol. 156(7), 1054-1066 (2009).

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

## SAFFTY 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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