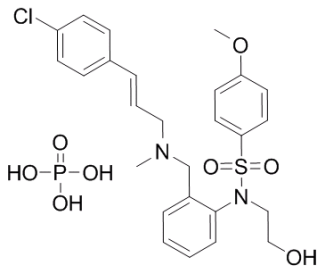
Data Sheet

Product Name:	KN–93 (phosphate)
Cat. No.:	HY-15465B
CAS No.:	1913269-12-1
Molecular Formula:	$C_{26}H_{32}ClN_2O_8PS$
Molecular Weight:	599.03
Target:	CaMK
Pathway:	Neuronal Signaling
Solubility:	DMSO



BIOLOGICAL ACTIVITY:

KN–93 phosphate is a novel membrane–permeant synthetic inhibitor of purified neuronal **CaMK–II**, with K_i of 370 nM.
IC50 & Target: Ki: 370 nM (CaMK–II)
In Vitro: After 2 days of KN–93 treatment, 95% of cells are arrested in G1. G1 arrest is reversible; 1 day after KN–93 release, a peak of cells had progressed into S and G2–M. KN–93 also blocks cell growth stimulated by basic fibroblast growth factor, platelet–derived growth factor–BB, epidermal growth factor, and insulin–like growth factor–1 in NIH 3T3 fibroblasts[1]. KN–93 inhibits the H^+, K^+–ATPase activity but strongly dissipates the proton gradient formed in the gastric membrane vesicles and reduces the volume of luminal space[2]. KN–93 (0.5 μM) prevents increased LV developed pressure during action potential prolongation and early afterdepolarizations. Ca^{2+}–independent CaM kinase activity is increased during early afterdepolarizations and this increase is prevented by KN–93[3].

PROTOCOL (Extracted from published papers and Only for reference)

Kinase Assay: [1]Cells are grown on 12–mm diameter glass coverslips in DMEM 100% serum and various concentrations of KN–93 or KN–92. After 0, 1, 2, and 3 days of culture in the presence of drug, coverslips are removed from culture, rinsed once in PBS, and then submerged in 100% methanol at –20°C for 3 min. Fixed cells are stored in PBS until staining using the TUNEL assay. Cells are overlaid on 20 μL PBS/1 mg/mL BSA for 30 min, rinsed in PBS, and then overlaid on 20 μL containing 100 mM sodium cacodylate (pH 6.8), 1 mM $CoCl_2$, 0.1 mM DTT, 0.1 mg/mL BSA, 20 μM fluorescein–12–dUTP, and 0.1 unit/μL terminal transferase at 37°C for 60 min. Coverslips are rinsed in PBS twice, mounted on slides, and photographed using an OLYMPUS BX5O epifluorescent microscope using a UPLAN APO 40X oil immersion objective.

References:

[1]. Tombes RM, et al. G1 cell cycle arrest and apoptosis are induced in NIH 3T3 cells by KN–93, an inhibitor of CaMK–II (the multifunctional Ca2+/CaM kinase). Cell Growth Differ. 1995 Sep;6(9):1063–70.
[2]. Mamiya N, et al. Inhibition of acid secretion in gastric parietal cells by the Ca2+/calmodulin–dependent protein kinase II inhibitorKN–93. Biochem Biophys Res Commun. 1993 Sep 15;195(2):608–15.
[3]. Anderson ME, et al. KN–93, an inhibitor of multifunctional Ca++/calmodulin–dependent protein kinase, decreases early afterdepolarizations in rabbit heart. J Pharmacol Exp Ther. 1998 Dec;287(3):996–1006.
[4]. Li J, et al. Curcumin Attenuates Retinal Vascular Leakage by Inhibiting Calcium/Calmodulin–Dependent Protein Kinase II Activity in Streptozotocin–Induced Diabetes. Cell Physiol Biochem. 2016;39(3):1196–208.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA