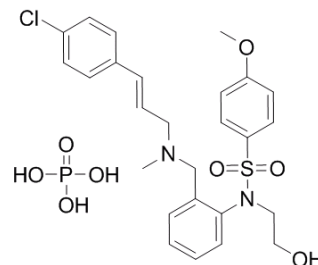


Data Sheet

Product Name:	KN-93 (phosphate)
Cat. No.:	HY-15465B
CAS No.:	1913269-12-1
Molecular Formula:	C ₂₆ H ₃₂ ClN ₂ O ₈ PS
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: K_i : 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. Ca²⁺-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 CoCl₂, 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 BX50 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 inhibitor KN-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.

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