

KN-62

Catalog No: **tcsc0516**



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg



Specifications

CAS No:

127191-97-3

Formula:

$C_{38}H_{35}N_5O_6S_2$

Pathway:

Neuronal Signaling; Membrane Transporter/Ion Channel

Target:

CaMK; P2X Receptor

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Observed Molecular Weight:

721.84

Product Description

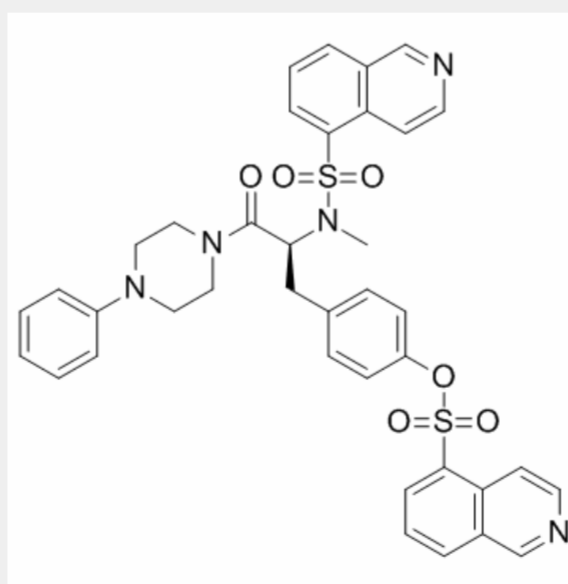
KN-62 is a selective and potent inhibitor of calmodulin-dependent protein kinase II (**CaMK-II**) with **IC₅₀** of 0.9 μM, KN-62 also displays noncompetitive antagonism at **P2X₇** receptors in HEK293 cells, with an **IC₅₀**

value of approximately 15 nM.

IC₅₀ & Target: IC₅₀: 0.9 μM (CaMK II)^[1], 15 nM (P2X₇ receptor, in HEK293 cells)^[2]

In Vitro: KN-62 is a selective antagonist of Ca²⁺/calmodulin-dependent protein kinase II (CaMKII). KN-62 potently antagonizes ATP-stimulated Ba²⁺ influx into fura-2 loaded human lymphocytes with an IC₅₀ of 12.7±1.5 nM (n=3) and complete inhibition of the flux at a concentration of 500 nM. Similarly, KN-62 inhibits ATP-stimulated ethidium⁺ uptake, measured by time resolved flow cytometry, with an IC₅₀ of 13.1±2.6 nM (n=4) and complete inhibition of the flux at 500 nM^[1]. KN-62 is found to be a potent antagonist in a functional assay, inhibition of ATP-induced K⁺ efflux in HEK293 cells expressing recombinant human P2X₇ receptors. In human leukemic B lymphocytes, KN-62 reduces the rate of permeability increase to larger permeant cations, like ethidium, induced by Bz-ATP with an IC₅₀ of 13.1 nM. KN-62 at a concentration of 3 μM has no effect on ATP-induced ethidium influx through the rat P2X₇ receptor, while the IC₅₀ at the human P2X₇ receptor is 0.1 μM. KN-62 has considerable selectivity for P2X₇ receptors within the P2 family^[2].

In Vivo: The antidepressant-like behavior of ZnCl₂ (10 mg/kg, p.o.) (p2 treatment [F(1,28)=0.84, p>0.05]) and a significant effect of KN-62×ZnCl₂ treatment interaction [F(1,28)=22.57, p2 is completely prevented by treatment of animals with KN-62. No effect in locomotor activity in the open-field test is observed: (KN-62 treatment [F(1,24)=1.97, p>0.05], ZnCl₂ treatment [F(1,24)=3.99, p>0.05]) and KN-62×ZnCl₂ treatment interaction [F(1,24)=0.61, p>0.05)]^[3].



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