Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

PRN694

Catalog No: tcsc0012239

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
1575818-46-0

Formula:
$C_{28}H_{35}F_2N_5O_2S$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
Itk

Purity / Grade:
>98%

Solubility:
DMSO : 125 mg/mL (229.92 mM; Need ultrasonic and warming)

Observed Molecular Weight:
543.67

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Product Description

PRN694 is a highly selective and potent covalent inhibitor of **T cell kinase** (**ITK**) and **resting lymphocyte kinase** (**RLK**) with **IC_{50}**s of 0.3 and 1.4 nM, respectively.

IC50 & Target: IC50: 0.3 nM (ITK), 1.4 nM (RLK)

In Vitro: PRN694 exhibits high potency against ITK and RLK with IC_{50} values of 0.3 and 1.4 nM, respectively. With PRN694 pretreatment, CD3-mediated CD69 induction is inhibited both in Jurkat T-cells and freshly isolated primary CD4 or CD8 T-cells. Maximal inhibition of CD69 induction is achieved with PRN694 concentrations ranging from 0.1 to 1.0 μM. Immunoblot analysis of TCR activation pathways reveales that PRN694 blocks activation or nuclear translocation of NFAT1, JunB, pIκBα, and pERK. Results reveal inhibition of Ca^{2+} signaling with PRN694 at all concentrations above 1 nM. The data show that PRN694 significantly attenuates NK cell FcR-induced killing at concentrations exceeding 0.37 μM. Day 6 flow cytometry analysis reveals that PRN694 significantly inhibits the anti-CD3/CD28-induced proliferation of both CD4 and CD8 T-cells (p[1].

In Vivo: The PRN694 occupancy of ITK is 98, 95, and 54% at 1, 6, and 14 h, respectively. The concentrations of PRN694 in the plasma are 2.8, 0.66, and 0.027 μM at 1, 6, and 14 h, respectively. At 14 h, the plasma level of PRN694 is over 10 fold lower than the IC_{50} in whole blood. RN694 treatment also results in significantly lower weights relative to vehicle (p[1]. Colitis studies show reduced numbers of $CD4^{+}$ T cells present in the colonic epithelium of PRN694-treated mice compare with controls[2].