

PI4KIII beta inhibitor 3

Catalog No: tcsc1460



Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg



Specifications

CAS No:

1245319-54-3

Formula:

$C_{22}H_{22}N_8OS$

Pathway:

PI3K/Akt/mTOR

Target:

PI4K

Purity / Grade:

>98%

Solubility:

DMSO : 20 mg/mL (44.79 mM; Need ultrasonic)

Observed Molecular Weight:

446.53

Product Description

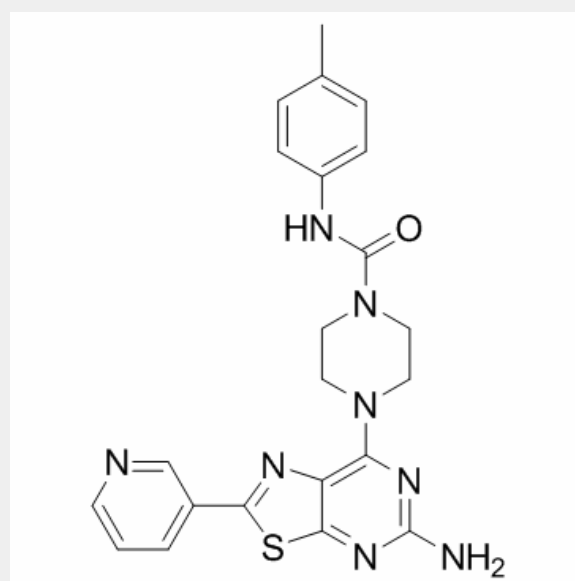
PI4KIII beta inhibitor 3 is a novel and high effective **PI4KIIIβ** inhibitor with **IC₅₀** of 5.7 nM.

IC50 & Target: IC50: 5.7 nM (PI4K)^[1]

In Vitro:

PI4KIII beta inhibitor 3 is a PI4KIII inhibitor extracted from patent WO/2013034738 A1, the compound of formula 3, has an IC₅₀ of 5.7 nM. PI4KIII beta inhibitor 3 exerts significant immunosuppressive activity, with IC₅₀ value of 3 nM in the mixed lymphocyte reaction (MLR) assay. PI4KIII beta inhibitor 3 inhibits IL2 and IFN γ secretion with IC₅₀ values of less than 1nM in each case. Thus, PI4KIII beta inhibitor 3 is shown to be as effective at inhibiting IL2 and IFN γ secretion as conventional immunosuppressants such as cyclosporine A. IC₅₀ on IFN γ and IL-2 release of Cyclosporine A are 2nM and less than 1 nM respectively^[1].

In Vivo: PI4KIII beta inhibitor 3 (40 mg/kg per day, n=12) is able to delay the onset of arthritic symptoms and also to decrease symptom severity in a preventive model of arthritis compared to a vehicle control (MC 1%, n=12). PI4KIII beta inhibitor 3 reduces the anti-CII IgG titre and histological scores in the collagen-induced arthritis mouse model. Oral administration of PI4KIII beta inhibitor 3 results in prolonged graft survival in 3 out of 6 grafts in each group at day 30. Several grafts continued beating after withdrawal of the treatment (up to 60 days), indicating the induction of a certain type of graft tolerance. To evaluate the operational tolerance phenotype, animals with functional graft at day 60 are challenged with a second graft from the same donor strain or from a third party. No treatment is applied. The second grafts from the third party are rejected at day 8 (n=2) whereas second grafts from the same donor strain are functional for more than 90 days (n=2)^[1].



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