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

RN486

Catalog No: tcsc1814

Available Sizes

Size: 1mg

Size: 5mg

Size: 10mg

Size: 25mg

Size: 50mg

Specifications

CAS No:
1242156-23-5

Formula:
$C_{35}H_{35}FN_6O_3$

Pathway:
Protein Tyrosine Kinase/RTK

Target:
Btk

Purity / Grade:
>98%

Solubility:
DMSO : 24 mg/mL (39.56 mM; Need ultrasonic and warming)

Observed Molecular Weight:
606.69

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Product Description

RN486 is a selective Btk inhibitor with an IC50 Value of 4.0 nM.

IC50 Value: 4.0 nM [1]

Target: Btk Kinase

in vitro: In the enzymatic assay, the compound potently inhibited Btk kinase activity with an IC50 of 4.0 nM. RN486 not only potently and selectively inhibited the Btk enzyme, but also displayed functional activities in human cell-based assays in multiple cell types, blocking Fcε receptor cross-linking-induced degranulation in mast cells (IC(50) = 2.9 nM), Fcγ receptor engagement-mediated tumor necrosis factor α production in monocytes (IC(50) = 7.0 nM), and B cell antigen receptor-induced expression of an activation marker, CD69, in B cells in whole blood (IC(50) = 21.0 nM) [1]. In a co-culture system consisting of human primary synovial FLS and activated human platelets, convulxin stimulation resulted in elevated production of pro-inflammatory cytokines, IL-6 and IL-8, an effect which was dose-dependently blocked by RN486 [2].

in vivo: RN486 displayed similar functional activities in rodent models, effectively preventing type I and type III hypersensitivity responses. More importantly, RN486 produced robust anti-inflammatory and bone-protective effects in mouse CIA and rat adjuvant-induced arthritis (AIA) models. In the AIA model, RN486 inhibited both joint and systemic inflammation either alone or in combination with methotrexate, reducing both paw swelling and inflammatory markers in the blood [1]. The administration of RN486 completely stopped disease progression, as determined by histologic and functional analyses of glomerular nephritis. The efficacy was associated with striking inhibition of B cell activation, as demonstrated by a significant reduction in CD69 expression in response to BCR crosslinking. RN486 markedly reduced the secretion of IgG anti-double-stranded DNA (anti-dsDNA) secretion, as determined by enzyme-linked immunosorbent and enzyme-linked immunospot assays [3].