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

Betrixaban

Catalog No: tcsc2481

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
330942-05-7

Formula:
$C_{23}H_{22}ClN_5O_3$

Pathway:
Metabolic Enzyme/Protease

Target:
Factor Xa

Purity / Grade:
>98%

Solubility:
DMSO : 22 mg/mL (48.68 mM; Need ultrasonic and warming)

Alternative Names:
PRT054021

Observed Molecular Weight:
451.91

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Product Description

Betrixaban is a highly potent, selective, and orally efficacious **factor Xa** (fXa) inhibitor with IC_{50} of 1.5 nM.

IC50 & Target: IC50: 1.5 nM (fXa)[1]

Ki: 0.117 nM (fXa), 1.8 μM (hERG)[1]

In Vitro: In patch clamp hERG assays, Betrixaban has IC_{50} of 8.9 μM. The plasma kallikrein IC_{50} and K_i values for Betrixaban are 6.3 μM and 3.5 μM respectively. Betrixaban (hERG K_i 1.8 μM) exhibits significantly lower hERG activity than all the others (hERG K_i⩽0.5 μM)[1].

In Vivo: Dosed at 0.5 mg/kg IV and 2.5 mg/kg PO, Betrixaban has bioavailability of 51.6% in dog; dosed at 0.75 mg/kg IV and 7.5 mg/kg PO, Betrixaban has bioavailability of 58.7% in monkey[1]. Both Betrixaban and Apixa-ban-mediated whole-blood INR increases are similarly reversed by r-Antidote. After i.v. infusion of the three fXa inhibitors (each admin¬istered individually) for 30 min, the total plasma concentrations of rivaroxaban, Betrixaban and apixaban are 1.4±0.4 μM (mean±s.d.), 0.2±0.01 μM and 1.4±0.3 μM, respectively, and the percentages of unbound inhibitor are 2.2%±0.8% (mean±s.d.), 40%±7.2% and 1.5%±0.3%, respectively. After administration of r-Antidote, the total plasma concentrations of the inhibitors increased to 1.9±0.09 μM, 2.0±0.4 μM and 4.2±0.7 μM, respectively, and the percentage of unbound inhibitor declined to 0%, 0.3%±0.1% and 0.05%±0.02%, respectively. Thus, for each of the three inhibitors, correction of prothrombin time by r-Antidote to near-normal values is associated with a reduction in the free fraction of the inhibitor[2].