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

Apixaban

Catalog No: tcsc0401

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
503612-47-3

Formula:
$C_{25}H_{25}N_5O_4$

Pathway:
Metabolic Enzyme/Protease

Target:
Factor Xa

Purity / Grade:
>98%

Solubility:
DMSO : 14.25 mg/mL (31.01 mM; Need ultrasonic and warming); H2O :

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Alternative Names:
BMS-562247-01

Observed Molecular Weight:
459.5

Product Description

Apixaban is a highly selective, reversible inhibitor of **Factor Xa** with **K_i** of 0.08 nM and 0.17 nM in human and rabbit, respectively.

IC50 & Target: IC50: 0.08 nM (Human Factor Xa), 0.17 nM (Rabbit Factor Xa)

In Vitro: Apixaban exhibits a high degree of potency, selectivity, and efficacy on Factor Xa with K_i of 0.08 nM and 0.17 nM for Human Factor Xa and Rabbit Factor Xa, respectively[1]. In vitro, Apixaban prolongs the clotting times of normal human plasma with the concentrations (EC2x) of 3.6 μM, 0.37 μM, 7.4 μM, and 0.4 μM, which are required respectively to double the prothrombin time (PT), modified prothrombin time (mPT), activated partial thromboplastin time (APTT) and HepTest. Besides, Apixaban shows the highest potency in human and rabbit plasma, but less potency in rat and dog plasma in both the PT and APTT assays[2].

In Vivo: Apixaban shows the excellent pharmacokinetics with very low clearance (Cl: 0.02 L/kg/h), and low volume of distribution (Vdss: 0.2 L/kg) in the dogs. Besides, Apixaban also exhibits a moderate half-life (T1/2: 5.8 hours) and good oral bioavailability (F: 58%)[1]. In the arteriovenous-shunt thrombosis (AVST), venous thrombosis (VT) and electrically mediated carotid arterial thrombosis (ECAT) rabbit models, Apixaban produces dose-dependent antithrombotic effects with EC_{50} of 270 nM, 110 nM and 70 nM, respectively[2]. Apixaban significantly inhibits factor Xa activity with IC_{50} of 0.22 μM in rabbit ex vivo[3]. In chimpanzee, Apixaban also shows small volume of distribution (Vdss: 0.17 L/kg), low systemic clearance (Cl: 0.018 L/kg/h), and good oral bioavailability (F: 59%)[4].