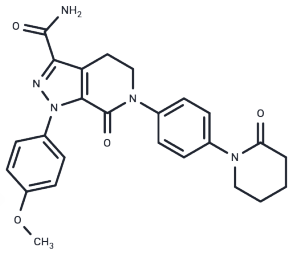
Data Sheet (Cat.No.T1736)

TargetMol

Apixaban

Chemical Properties



CAS No. : 503612-47-3

Formula: C25H25N5O4

Molecular Weight: 459.50

Storage: Keep away from direct sunlight
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Apixaban (BMS-562247-01) is an orally active anticoagulant that inhibits coagulation factor Xa, directly preventing the conversion of prothrombin to thrombin and the formation of cross-linked fibrin clots.
Targets(IC50)	Factor Xa
In vitro	Apixaban demonstrates excellent pharmacokinetic properties in dogs, characterized by very low clearance (Cl: 0.02 L/kg/h), low volume of distribution (Vdss: 0.2 L/kg), a half-life (T1/2: 5.8 h), and oral bioavailability (F: 58%). Its antithrombotic efficacy is evident in models of venous thrombosis, arteriovenous shunt thrombosis, and electrically induced carotid artery thrombosis in rabbits, with EC50 values of 110 nM, 270 nM, and 70 nM, respectively.
In vivo	When applied to normal human plasma in vitro, Apixaban extends coagulation time, doubling the prothrombin time (3.6 μM), modified prothrombin time (0.37 μM), activated partial thromboplastin time (7.4 μM), and HepTest (0.4 μM). Apixaban exhibits high selectivity in inhibiting human and rabbit Factor Xa, with Ki values of 0.08 and 0.17 nM, respectively. Additionally, Apixaban demonstrates maximum effectiveness in human and rabbit plasma in PT (Prothrombin Time) and APTT (Activated Partial Thromboplastin Time) assays, with comparatively lesser effects observed in rat and dog plasma.
Kinase Assay	Purified FXa is obtained after activation with Russell's viper venom followed by affinity chromatography. The resulting FXa is > 95% pure as judged by sodium dodecylsulfate polyacrylamide gel electrophoresis. The substrate affinity values for FXa, expressed as the Michaelis-Menten-Henri constant (Km), for human, rabbit, rat and dog FXa are determined using the chromogenic substrate S-2765, and are 36, 60, 240 and 70 μM, respectively. The substrate hydrolysis is monitored by measuring absorbance at 405 nm at 25°C for up to 30 min using a SpectraMax 384 Plus plate reader and SoftMax. FXa activity for each substrate and inhibitor concentration pair is determined in duplicate. The Ki values are calculated by non-linear least-squares fitting of the steady-state substrate hydrolysis rates to the equation for competitive inhibition (Equation 1) using GRAFIT, where v equals reactions velocity in OD min?1, Vmax equals maxiumum reaction velocity, S equals substrate concentration, and I equals inhibitor concentration.

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 10.00 mg/mL (21.76 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble), (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1763 mL	10.8814 mL	21.7628 mL
5 mM	0.4353 mL	2.1763 mL	4.3526 mL
10 mM	0.2176 mL	1.0881 mL	2.1763 mL
50 mM	0.0435 mL	0.2176 mL	0.4353 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Pinto DJ, et al. J Med Chem. 2007, 50(22), 5339-5356.
Wong PC, et al. J Thromb Haemost. 2008, 6(5), 820-829.
Zhang D, et al. J Thromb Thrombolysis. 2010, 29(1), 70-80.
He K, et al. Eur J Drug Metab Pharmacokinet. 2011, 36(3), 129-139.