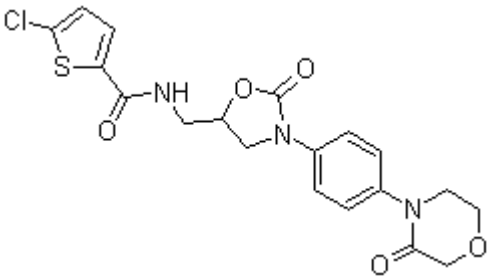
Product Introduction

Rivaroxaban

Rivaroxaban is a direct inhibitor of Factor Xa **with** K_i **and** IC50 **of 0.4 nM and 0.7 nM, respectively.**

Technical Data:

Molecular Weight (MW):	435.88	
Formula:	$C_{19}H_{18}ClN_3O_5S$	
Solubility (25 ℃) * <1 mg/ml means slightly soluble or insoluble:	DMSO 87 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	366789-02-8	

Biological Activity

Rivaroxaban is an oral, direct inhibitor of Factor Xa (FXa), being developed for the prevention and treatment of arterial and venous thrombosis with a K_i of 0.4 nM. Rivaroxaban also inhibits prothrombinase activity with IC50 of 2.1 nM. Rivaroxaban also shows a similar affinity to purified human and rabbit FXa (IC50 0.7 nM and 0.8 nM, respectively), but a lesser potency against purified rat FXa (IC50 3.4 nM). Endogenous human and rabbit FXa in plasma is inhibited to a similar extent by Rivaroxaban (IC50 21 nM and 21 nM, respectively), while 14-fold higher concentrations are required in rat plasma (IC50 290 nM). [1] Rivaroxaban exhibits high permeability and polarized transport across Caco-2 cells as a substrate

of the P-gp, but exhibits no inhibitory effect on P-gp-mediated drug transport up to concentrations of 100 μM in vitro. [2]

Rivaroxaban reduces venous thrombosis in a dose dependent manner (ED50 0.1 mg/kg i.v.) in a rat venous stasis model. Rivaroxaban reduces arterial thrombus formation in an arteriovenous (AV) shunt in rats (ED50 5.0 mg/kg p.o.) and rabbits (ED50 0.6 mg/kg p.o.). [1] Plasma pharmacokinetics of Rivaroxaban are linear across the investigated dose range (1-10 mg/kg in rats, 0.3-3 mg/kg in dogs). Plasma clearance is low: 0.4 L/kg/h in rats and 0.3 L/kg/h in dogs; the volume of distribution (V(ss)) is moderate: 0.3 L/kg in rats, and 0.4 L/kg in dogs. The elimination half-life after oral administration is short in both species (0.9-2.3 hours). [3]

References

[1] Perzborn E, et al. J Thromb Haemost, 2005, 3(3), 514–521.

[2] Gnoth MJ, et al. J Pharmacol Exp Ther, 2011, 338(1), 372-380.

[3] Weinz C, et al. Xenobiotica, 2005, 35(9), 891-910.

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