

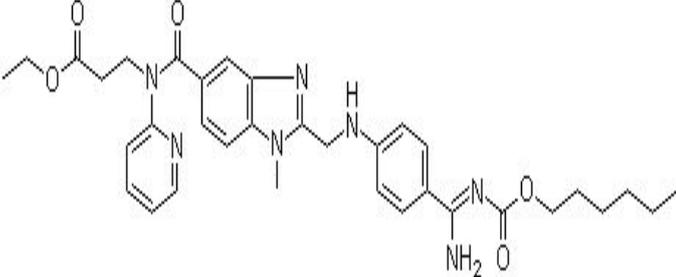


Product Introduction

Dabigatran Etxilate

Dabigatran Etxilate is the prodrug of dabigatran, a potent, nonpeptidic small molecule that specifically and reversibly inhibits both free and clot-bound thrombin by binding to the active site of the **thrombin** molecule.

Technical Data:

Molecular Weight (MW):	627.73	
Formula:	C ₃₄ H ₄₁ N ₇ O ₅	
Solubility (25°C)	DMSO 126 mg/mL	
* <1 mg/ml means slightly soluble or insoluble:	Water <1 mg/mL	
	Ethanol 12 mg/mL	
Purity:	>98%	
Storage:	3 years -20°C Powder 6 months -80°C in DMSO	
CAS No.:	211915-06-9	

Biological Activity

Dabigatran selectively and reversibly inhibits human thrombin (K_i: 4.5 nM) as well as thrombin-induced platelet aggregation (IC₅₀: 10 nM), while showing no inhibitory effect on other platelet-stimulating agents. Dabigatran selectively and reversibly inhibits human thrombin (K_i: 4.5 nM) as well as thrombin-induced platelet aggregation (IC₅₀: 10 nM), while showing no inhibitory effect on other platelet-stimulating agents. Dabigatran inhibits thrombin generation in platelet-poor plasma (PPP) with IC₅₀ of 0.56 μM, measured as

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the endogenous thrombin potential (ETP). Dabigatran demonstrates concentration-dependent anticoagulant effects in various species in vitro, doubling the activated partial thromboplastin time (aPTT), prothrombin time (PT) and ecarin clotting time (ECT) in human PPP at concentrations of 0.23, 0.83 and 0.18 μ M, respectively. ^[1]

Dabigatran prolongs the aPTT dose-dependently after intravenous administration in rats (0.3, 1 and 3 mg/kg) and rhesus monkeys (0.15, 0.3 and 0.6 mg/kg). ^[1] Dabigatran etexilate (20 mg/kg, orally) produces less prolongation of K value and less decreases in angle and maximum amplitude than enoxaparin in swine. ^[2] Dabigatran (0.01-0.1 mg/kg) reduces thrombus formation dose-dependently, with an ED50 (50% of the effective dose) of 0.033 mg/kg and complete inhibition at 0.1 mg/kg. Dabigatran etexilate (5-30 mg/kg) inhibits thrombus formation in a dose- and time-dependent manner, with maximum inhibition within 30 min of pretreatment, suggesting a rapid onset of action.^[3]

References

- [1] Wienen W, et al. *Thromb Haemost*, 2007, 98(1), 155-162.
- [2] McKellar SH, et al. *J Thorac Cardiovasc Surg*, 2011, 141(6), 1410-1416.
- [3] Wienen W, et al. *Thromb Haemost*, 2007, 98(2), 333-338.



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