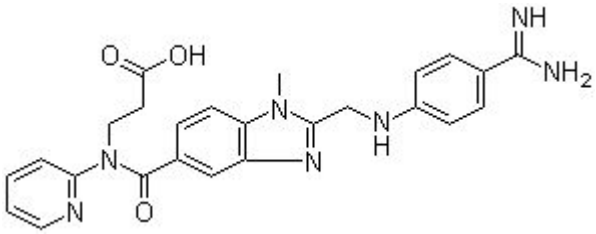
Product Introduction

Dabigatran (BIBR 953)

BIBR 953 (Dabigatran, Pradaxa) is potent nonpeptide thrombin inhibitor with an IC50 of 9.3 nM.

Technical Data:

Molecular Weight (MW):	471.51	
Formula:	$C_{25}H_{25}N_7O_3$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 0.5 mg/mL	
	Water <1 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	211914-51-1	

Biological Activity

BIBR 953 is a very potent anticoagulant. BIBR 953 shows that the terminal phenyl can be substituted by the more hydrophilic 2-pyridyl group without substantial loss of activity. BIBR 953 inhibits thrombin, plasmin, factor Xa, trypsin, tPA and activated protein C with Ki of 4.5 nM, 1.7 μM, 3.8 μM, 50 nM, 45 μM and 20 μM, respectively. [1] BIBR 953 specifically and reversibly inhibits thrombin. [2]

BIBR 953 exhibits the most favorable activity profile following i.v. administration to rats. [1] The bioavailability of dabigatran after p.o. administration of dabigatran etexilate is 7.2%. Dabigatran is predominantly excreted in the feces after p.o. treatment and in the urine after i.v. treatment. The mean

terminal half-life of dabigatran is approximately 8 hours. Dabigatran acylglucuronides accounts for 0.4% and 4% of the dose in urine after p.o. and i.v. dosing, respectively. [3

Dabigatran is a reversible, competitive, direct thrombin inhibitor.

References

[1] Hauel NH, et al. J Med Chem. 2002, 45(9), 1757-1766.

[2] Stangier J, et al. Br J Clin Pharmacol. 2007, 64(3), 292-303.

[3] Blech S, et al. Drug Metab Dispos. 2008, 36(2), 386-399.

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