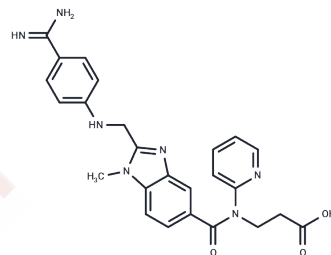


Dabigatran

Chemical Properties

CAS No. :	211914-51-1
Formula:	C ₂₅ H ₂₅ N ₇ O ₃
Molecular Weight:	471.51
Appearance:	no data available
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year



Biological Description

Description	Dabigatran (BIBR 953ZW) is a THROMBIN inhibitor which acts by binding and blocking thrombogenic activity and the prevention of thrombus formation. It is used to reduce the risk of stroke and systemic EMBOLISM in patients with nonvalvular atrial fibrillation.
Targets(IC50)	Thrombin
In vitro	Dabigatran is a very potent anticoagulant. Dabigatran shows that the terminal phenyl can be substituted by the more hydrophilic 2-pyridyl group without substantial loss of activity. Dabigatran 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] Dabigatran specifically and reversibly inhibits thrombin. [2]
In vivo	Dabigatran 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]

Solubility Information

Solubility	0.1 M HCL: 12.5 mg/mL (26.51 mM), Sonication is recommended. DMSO: < 1 mg/mL (insoluble or slightly soluble), H ₂ O: < 0.1 mg/mL (insoluble), (< 1 mg/mL refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1208 mL	10.6042 mL	21.2085 mL
5 mM	0.4242 mL	2.1208 mL	4.2417 mL
10 mM	0.2121 mL	1.0604 mL	2.1208 mL
50 mM	0.0424 mL	0.2121 mL	0.4242 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.

Reference

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

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

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

Alouidor B, Sweeney R E, Tat T, et al. Microfluidic Point-of-Care Ecarin-Based Clotting and Chromogenic Assays for Monitoring Direct Thrombin Inhibitors[J]. The journal of extra-corporeal technology. 2019, 51(1): 29-37.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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