

产品名称：达比加群
产品别名：Dabigatran

生物活性:																															
Description	Dabigatran (BIBR 953), an oral anticoagulant, is a reversible, potent, competitive direct thrombin inhibitor ($K_i=4.5\text{ nM}$). Dabigatran (BIBR 953) also inhibits thrombin-induced platelet aggregation ($IC_{50}=10\text{ nM}$)[1][2].																														
IC₅₀ & Target	$K_i: 4.5\text{ nM}$ (thrombin)[1]																														
In Vitro	Dabigatran (BIBR 953) shows concentration-dependent anticoagulant effects in various species <i>in vitro</i> , doubling the activated partial thromboplastin time (aPTT), prothrombin time (PT) and ecarin clotting time (ECT) in human platelet-poor plasma at concentrations of 0.23, 0.83 and 0.18 μM , respectively[1].																														
In Vivo	Dabigatran (0.01-0.1 mg/kg; i.v.) inhibits clot formation with an ED ₅₀ of 0.033 mg/kg in Wessler model[3].																														
	Animal Model:	Male rats (Wessler model)[3]																													
	Dosage:	0.01, 0.03, 0.05 and 0.1 mg/kg																													
	Administration:	Intravenous injection																													
	Result:	Inhibited clot formation with an ED ₅₀ of 0.033 mg/kg.																													
Solvent&Solubility	<p>In Vitro:</p> <p>0.1 M HCl : 12.5 mg/mL (26.51 mM; Need ultrasonic)</p> <p>H₂O : < 0.1 mg/mL (insoluble)</p> <p>DMSO : < 1 mg/mL (insoluble or slightly soluble)</p> <table border="1"> <thead> <tr> <th rowspan="2">Preparing</th> <th>Solvent</th> <th>Mass</th> <th>1 mg</th> <th>5 mg</th> <th>10 mg</th> </tr> <tr> <th>Concentration</th> <th></th> <th></th> <th></th> <th></th> </tr> </thead> <tbody> <tr> <td rowspan="3">Stock Solutions</td> <td>1 mM</td> <td></td> <td>2.1208 mL</td> <td>10.6042 mL</td> <td>21.2085 mL</td> </tr> <tr> <td>5 mM</td> <td></td> <td>0.4242 mL</td> <td>2.1208 mL</td> <td>4.2417 mL</td> </tr> <tr> <td>10 mM</td> <td></td> <td>0.2121 mL</td> <td>1.0604 mL</td> <td>2.1208 mL</td> </tr> </tbody> </table> <p>*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。</p> <p>储备液的保存方式和期限 -80°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。</p>				Preparing	Solvent	Mass	1 mg	5 mg	10 mg	Concentration					Stock Solutions	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
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References	<p>[1]. Wienen W, Stassen JM, Priepe H. In-vitro profile and ex-vivo anticoagulant activity of the direct thrombin inhibitor dabigatran and its orally active prodrug, dabigatran etexilate. Thromb Haemost. 2007 Jul;98(1):155-62.</p> <p>[2]. Hauel NH, et al. Structure-based design of novel potent nonpeptide thrombin inhibitors. J Med Chem. 2002 Apr 25;45(9):1757-66.</p> <p>[3]. Wienen W, et al. Effects of the direct thrombin inhibitor dabigatran and its orally active prodrug, dabigatran etexilate, on thrombus formation and bleeding time in rats. Thromb Haemost. 2007 Aug;98(2):333-8.</p>																														