

Bivalirudin TFA

Chemical Properties

CAS No. :	1191386-55-6
Formula:	C100H139F3N24O35
Molecular Weight:	2294.31
Storage:	Keep away from moisture, Keep away from direct sunlight Powder: -20°C for 3 years In solvent: -80°C for 1 year <small>Actual storage temperature shall be subject to the COA.</small>

Biological Description

Description	Bivalirudin TFA is a hirudin analog and belongs to the class of specific, reversible direct thrombin inhibitors. Bivalirudin TFA exhibits high selectivity, with K_i values of 2.56 nM and 1.84 nM for α -thrombin and ζ -thrombin, respectively, and shows no significant activity against trypsin or γ -thrombin. Bivalirudin TFA also exhibits antiviral and anti-inflammatory activities. Bivalirudin TFA can be used in research on thrombotic diseases and other conditions.
Targets(IC50)	Interleukin, RSV, Thrombin
In vitro	Methods: HUVECs were incubated with 2 U/ml thrombin and 30 μ g/ml Bivalirudin TFA for 10 minutes. Intracellular and extracellular S1P concentrations were measured by ELISA, and S1PR expression was assessed by Western blot. Results: Thrombin significantly increased intracellular and extracellular S1P levels and S1PR2 expression; Bivalirudin TFA completely reversed the thrombin-induced increase in S1P and upregulation of S1PR2. [1]
In vivo	Methods: 5- to 7-day-old C57BL/6 newborn mice were selected and anesthetized with ketamine / serazine (0.04 mL/0.02 kg), and a respiratory syncytial virus (RSV) infection model was established via intranasal instillation of 0.035 mL of a solution containing 5×10^6 TCID ₅₀ of RSV A2. Starting 1 day prior to viral infection, 2 mg/kg of Bivalirudin TFA was administered intravenously once every other day for 2 consecutive weeks. Results: Following administration of Bivalirudin TFA, the inflammatory score in mouse lung tissue decreased by approximately 50%, and viral copy numbers decreased by approximately 60%. Concurrently, it corrected disorders in the coagulation-fibrinolysis system, downregulated pro-inflammatory factors and the TLR3/7 signaling pathways, and regulated the expression of inflammation-related microRNAs. No significant toxic reactions were observed in the mice during the treatment period. [2] Methods: Wild-type ($P2Y_{12}^{+/+}$) and heterozygous ($P2Y_{12}^{+/-}$) mice were administered 0.2 mg/mL rhodamine 6G-labeled platelets via tail vein injection; Bivalirudin TFA (2 mg/kg) was administered intravenously 15 minutes prior to the procedure; injury was induced by treating the mesenteric artery with 12.5% FeCl ₃ -impregnated filter paper for 7 minutes, followed by continuous observation of thrombus formation and vascular occlusion time for 40 minutes. Results: Bivalirudin TFA delayed vascular occlusion time in wild-type mice; in $P2Y_{12}^{+/-}$

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In vivo	mice, Bivalirudin TFA completely blocked thrombus formation.[3]
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Solubility Information

Solubility	DMSO: 80 mg/mL (34.87 mM),Sonication is recommended. H2O: 40 mg/mL (17.43 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.4359 mL	2.1793 mL	4.3586 mL
5 mM	0.0872 mL	0.4359 mL	0.8717 mL
10 mM	0.0436 mL	0.2179 mL	0.4359 mL
50 mM	0.0087 mL	0.0436 mL	0.0872 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Ye H, et al. Bivalirudin Attenuates Thrombin-Induced Endothelial Hyperpermeability via S1P/S1PR2 Category: Original Articles. *Front Pharmacol.* 2021 Aug 3;12:721200.

Zhuang S, et al. Bivalirudin exerts antiviral activity against respiratory syncytial virus-induced lung infections in neonatal mice. *Acta Pharm.* 2022 Apr 13;72(3):415-425.

André P, et al. Anticoagulants (thrombin inhibitors) and aspirin synergize with P2Y12 receptor antagonism in thrombosis. *Circulation.* 2003 Nov 25;108(21):2697-703.

Warkentin TE, et al. Bivalirudin: a review. *Expert Opin Pharmacother.* 2005 Jul;6(8):1349-71.

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