

## Bivalirudin TFA

<b>Cat. No.:</b>	HY-15664		
<b>CAS No.:</b>	1191386-55-6		
<b>Molecular Formula:</b>	$C_{98}H_{138}N_{24}O_{33} \cdot C_2HF_3O_2$		
<b>Molecular Weight:</b>	2294.34		
<b>Sequence:</b>	{d-Phe}-PRPGGGGNGDFEEIPEEYL (TFA salt) u		
<b>Sequence Shortening:</b>	{d-Phe}-PRPGGGGNGDFEEIPEEYL		
<b>Target:</b>	Thrombin		
<b>Pathway:</b>	Metabolic Enzyme/Protease		
<b>Storage:</b>	Sealed storage, away from moisture and light		
	Powder	-80°C	2 years
		-20°C	1 year
	* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)		

### SOLVENT & SOLUBILITY

#### In Vitro

H<sub>2</sub>O : ≥ 50 mg/mL (21.79 mM)  
 DMSO : ≥ 31 mg/mL (13.51 mM)  
 \* "≥" means soluble, but saturation unknown.

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	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

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: PBS  
Solubility: 50 mg/mL (21.79 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (1.09 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (1.09 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (1.09 mM); Clear solution

### BIOLOGICAL ACTIVITY

## Description

Bivalirudin TFA is a synthetic 20 residue peptide which reversibly inhibits thrombin. IC50 Value: Target: thrombin in vitro: Eptifibatide (8 mg/mL) added together with a low (70 ng/mL) concentration of bivalirudin (a direct thrombin inhibitor) effectively (approximately 90%) reduced platelet aggregation induced by thrombin (0.2 U/mL) [1]. In thrombin generation assay (TGA), bivalirudin had no effect on these parameters up to 10 µmol/l [2]. Bivalirudin-facilitated binding of MPO to BAEC resulted also in functional changes in terms of increased NO consumption as well as enhanced MPO-mediated redox modifications [3]. in vivo: The use of bivalirudin prevented further increase in antiheparin/PF4 antibody IgG levels in rats [4]. Three animals in the 500-mg/kg/24 h group, and 7 animals in the 2000-mg/kg/24 h group in the toxicokinetic assessment phase of the study were found dead or euthanized in extremis (following blood sampling). Plasma concentrations of bivalirudin appeared to be linear and dose independent [5]. Clinical trial: Antithrombotic Effects of Ticagrelor Versus Clopidogrel . Phase 4

## CUSTOMER VALIDATION

- Compos Part B-Eng. 1 April 2022, 109702.
- Allergy. 2022 Jan 7.
- Elife. 2022 Mar 23;11:e77444.
- Antiviral Res. 2023 Apr 17;105606.
- J Clin Pathol. 2019 Dec;72(12):817-824.

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## REFERENCES

- [1]. Ciborowski M, Tomasiak M. The in vitro effect of eptifibatide, a glycoprotein IIb/IIIa antagonist, on various responses of porcine blood platelets. *Acta Pol Pharm.* 2009 May-Jun;66(3):235-42.
- [2]. Xu Y, Wu W, Wang L, Differential profiles of thrombin inhibitors (heparin, hirudin, bivalirudin, and dabigatran) in the thrombin generation assay and thromboelastography in vitro. *Blood Coagul Fibrinolysis.* 2013 Apr;24(3):332-8.
- [3]. Rudolph V, Rudolph TK, Schopfer FJ, Bivalirudin decreases NO bioavailability by vascular immobilization of myeloperoxidase. *J Pharmacol Exp Ther.* 2008 Nov;327(2):324-31.
- [4]. Zhang R, Huang Y, Zhang M, Bivalirudin Utilization in Rats Undergoing Cardiopulmonary Bypass: Preventing the Increase of Antiheparin/Platelet Factor 4 Antibody in Perioperative Period. *Clin Appl Thromb Hemost.* 2012 Aug 21. [Epub ahead of print]
- [5]. Gleason TG, Chengelis CP, Jackson CB, A 24-hour continuous infusion study of bivalirudin in the rat. *Int J Toxicol.* 2003 May-Jun;22(3):195-206.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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