Bivalirudin TFA

Cat. No.: HY-15664

CAS No.: 1191386-55-6

Molecular Formula: $C_{98}H_{138}N_{24}O_{33}.C_2HF_3O_2$

Molecular Weight: 2294.34

Sequence: {d-Phe}-Pro-Arg-Pro-Gly-Gly-Gly-Gly-Asn-Gly-Asp-Phe-Glu-Glu-Ile-Pro-Glu-Glu-Tyr-Leu

{d-Phe}-PRPGGGGNGDFEEIPEEYL (TFA salt)

Sequence Shortening: {d-Phe}-PRPGGGGNGDFEEIPEEYL

Target: Thrombin

Pathway: Metabolic Enzyme/Protease

Storage: 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_2O : ≥ 50 mg/mL (21.79 mM)

DMSO : ≥ 31 mg/mL (13.51 mM)

* "≥" means soluble, but saturation unknown.

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

1. Add each solvent one by one: PBS
   Solubility: 50 mg/mL (21.79 mM); Clear solution; Need ultrasonic
2. 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
3. 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
4. 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: thrombinin 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 bivalirudinprevented 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

- Allergy. 2022 Jan 7.
- Compos Part B-Eng. 1 April 2022, 109702.
- Antiviral Res. 2023 Apr 17;105606.
- J Am Soc Mass Spectrom. 2020 Jul 5.
- 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.

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