Product Data Sheet

Product Name: Bivalirudin Trifluoroacetate
Cat. No.: GC10448

Chemical Properties

Cas. No.	128270-60-0
Chemical Name	(1Z,4Z,7Z,10Z,13Z,15S,16Z,19Z,21S,22Z,24S,25Z,27S,28Z,30S)-1-((S)-1-((S)-2-((Z)-(((S)-1-((R)-2-amino-3-phenylpropanoyl)pyrrolidin-2-yl)(hydroxy)methylene)amino)-5-guanidinopentanoyl)pyrrolidin-2-yl)-24-benzyl-30-((Z)-(((2S,3S)-1-((S)-2-((1Z,3S,4Z,6S,7Z,9S
SMILES	CC[C@]([C@@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/C/N=C(O)/[C@](/N=C(O)/C/N=C(O)/C/N=C(O)/C/N=C(O)/C/N=C(O)/[C@]1([H])CCCN1C([C@](/N=C(O)/[C@]2([H])CCCN2C([C@@](N)([H])CC3=CC=CC=C3)=O)([H])CCCNC(N)=N)=O)([H])CC(O)=N)([H])CC(O)=O)([H
Formula	$C_{98}H_{138}N_{24}O_{33}$
M.Wt	2180.29
Solubility	≥ 54.5 mg/mL in DMSO with gentle warming, ≥ 42 mg/mL in EtOH with gentle warming, ≥ 86.2 mg/mL in Water with gentle warming
Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
Structure	Bivalirudin Trifluoroacetate

Protocol

In vitro experiment [1]:

Samples	Platelet-poor plasma

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Preparation method	This compound is soluble in DMSO. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below - 20 °C for several months.
Reacting condition	1.5 ~ 30 μg/mL
Applications	In platelet-poor plasma, Bivalirudin dose-dependently delayed thrombin formation regardless of the activators. Under actin activation, thrombin peak levels decreased progressively (21.5% ± 9.2% at 1.5 μg/mL to 69.9% ± 12.3% at 30 μg/mL). With tissue factor as a trigger, the decrease was more gradual. The peak level of thrombin was only reduced by 29.4% ± 6.2% at 30 μg/mL.

Animal experiment [2]:

Animal models	A thromboplastin-induced thrombosis mouse model
Dosage form	1 μmol/kg; i.v.

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Applications	In a thromboplastin-induced lung thrombosis mouse model, Bivalirudin micelles were accumulated in lung thrombi 10-fold more than free Bivalirudin. Moreover, Bivalirudin micelles significantly prolonged the half-life time, increasing the bioavailability of Bivalirudin. In addition, Bivalirudin micelles showed significantly higher anticoagulant activity than free Bivalirudin in both the lung thrombosis model and the ferric chloride-induced carotid artery thrombosis model.
Other notes	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

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References:

[1]. Tanaka KA, Szlam F, Sun HY, Taketomi T, Levy JH. Thrombin generation assay and viscoelastic coagulation monitors demonstrate differences in the mode of thrombin inhibition between unfractionated heparin and bivalirudin. Anesth Analg. 2007 Oct;105(4):933-9.

[2]. She ZG, Liu X, Kotamraju VR, Ruoslahti E. Clot-targeted micellar formulation improves anticoagulation efficacy of bivalirudin. ACS Nano. 2014 Oct 28;8(10):10139-49.

Background

BivalirudinTrifluoroacetate is a specific, reversible and direct thrombin inhibitor with a predictable anticoagulant effect.

In patients with normal or mildly impaired renal function, bivalirudin exihibited several notable mechanistic advantages when compared with unfractionated heparin. Bivalirudin showed activity against clot-bound thrombin, inhibition of thrombin-induced platelet activation, short plasma half-life (25 minutes)[1]. Bivalirudin inhibited both circulating thrombin and fibrin bound thrombin directly by binding to thrombin catalytic site and anion-binding exosite I in a concentration-dependent manner. Bivalirudin prolonged activated partial thromboplastin time, prothrombin time, thrombin time and activated clotting time (ACT). ACT levels activated by bivalirudin showed no correlation with its clinical efficacy [1]. When compared to heparin alone or heparin in combination with-a GpIIb/IIIa inhibitor, bivalirudin had shown less in-hospital major bleeding.

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Bivalirudin was safe and effective during percutaneous coronary intervention (PCI) in patients with heparin-induced thrombocytopenia, indicated the safety and efficacy of bivalirudin [1].

Reference:
[1]. Shammas N W. Bivalirudin: pharmacology and clinical applications[J]. Cardiovascular drug reviews, 2005, 23(4): 345-360.

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