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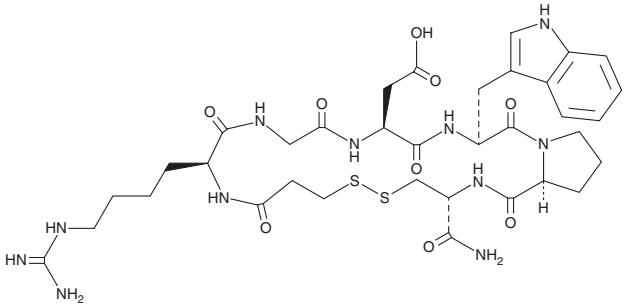
PRODUCT INFORMATION

Eptifibatide

Item No. 21578

CAS Registry No.: 188627-80-7
Formal Name: N^6-(aminoiminomethyl)-N^2-(3-mercapto-1-oxopropyl)-L-lysylglycyl-L-α-aspartyl-L-tryptophyl-L-prolyl-L-cysteinamide, cyclic (1→6)-disulfide
MF: $C_{35}H_{49}N_{11}O_9S_2$
FW: 832.0
Purity: ≥95%
UV/Vis.: λ_{max}: 222, 283 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Eptifibatide is supplied as a crystalline solid. A stock solution may be made by dissolving the eptifibatide in the solvent of choice. Eptifibatide is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of eptifibatide in these solvents is approximately 5, 14, and 30 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of eptifibatide can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of eptifibatide in PBS, pH 7.2, is approximately 5 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Eptifibatide is a potent glycoprotein IIb/IIIa antagonist (GPIIb/IIIa; K_d = 120 nM) that inhibits platelet aggregation.[1,2] Eptifibatide prevents binding of the adhesion proteins fibrinogen and von Willebrand factor to GPIIb/IIIa on the surface of activated platelets to prevent aggregation and thrombus formation.[1] It inhibits ADP-induced citrated blood aggregation (IC_{50} = 0.11-0.22 µg/ml) *in vitro* and *in vivo* (IC_{50} = 52 µg/ml in porcine plasma).[1,4] Formulations containing eptifibatide have been used to reduce risk of thrombolysis in myocardial infarction in patients undergoing percutaneous coronary intervention.[5]

References

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2. Moser, M., Bertram, U., Peter, K., *et al.* Abciximab, eptifibatide, and tirofiban exhibit dose-dependent potencies to dissolve platelet aggregates. *J. Cardiovasc. Pharmacol.* **41(4)**, 586-592 (2003).
3. Scarborough, R.M. Development of eptifibatide. *Am. Heart J.* **138(6 Pt 1)**, 1093-1104 (1999).
4. Ciborowski, M. and Tomasiak, M. The *in vitro* effect of eptifibatide, a glycoprotein IIb/IIIa antagonist, on various responses of porcine blood platelets. *Acta Pol. Pharm.* **66(3)**, 235-242 (2009).
5. Zhou, X., Wu, X., Sun, H., *et al.* Efficacy and safety of eptifibatide versus tirofiban in acute coronary syndrome patients: A systematic review and meta-analysis. *J. Evid. Based Med.* **10(2)**, 136-144 (2017).

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