

PRODUCT INFORMATION



Argatroban

Item No. 19639

CAS Registry No.: 74863-84-6
Formal Name: (2R,4R)-1-[(2S)-5-[(aminoiminomethyl)amino]-1-oxo-2-[[[(1,2,3,4-tetrahydro-3-methyl-8-quinolinyl)sulfonyl]amino]pentyl]-4-methyl-2-piperidinecarboxylic acid

Synonym:

MCI-9038

MF: C₂₃H₃₆N₆O₅S

FW: 508.6

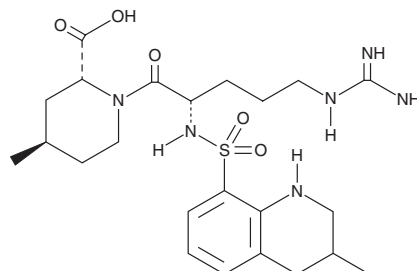
Purity: ≥95%

UV/Vis.: λ_{max}: 212, 259, 331 nm

Supplied as: A crystalline solid

Storage: -20°C

Stability: ≥4 years



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

Laboratory Procedures

Argatroban is supplied as a crystalline solid. A stock solution may be made by dissolving the argatroban in the solvent of choice, which should be purged with an inert gas. Argatroban is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of argatroban in these solvents is approximately 1, 3, and 20 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 argatroban can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of argatroban in PBS (pH 7.2) is approximately 0.1 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Argatroban is a reversible inhibitor of thrombin (IC₅₀s = 0.01 and 0.09 μM for the free and clot-bound forms, respectively).¹ It is selective for thrombin over factor Xa, trypsin, plasmin, and tissue plasminogen activator (K_is = 0.0045, 53, 0.19, 25.7, and 87.7 μM, respectively). It inhibits thrombin-induced platelet aggregation in washed isolated guinea pig platelets with an IC₅₀ value of 0.077 μM.² Argatroban (3.2 mg/kg, s.c.) decreases thrombus formation in a guinea pig model of iron chloride-induced arterial thrombosis. Formulations containing argatroban have been used in the prevention or treatment of thrombosis in patients with heparin-induced thrombocytopenia.

References

1. Park, H.D., Lee, S.H., Kim, T.H., *et al.* Antithrombotic effects of LB30870, a potent, orally active, selective and direct thrombin inhibitor, and pharmacokinetics of its prodrug. *Bioorg. Med. Chem. Lett.* **23**(17), 4779-4784 (2013).
2. Kato, Y., Kita, Y., Hirasawa-Taniyama, Y., *et al.* Inhibition of arterial thrombosis by a protease-activated receptor 1 antagonist, FR171113, in the guinea pig. *Eur. J. Pharmacol.* **473**(2-3), 163-169 (2003).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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