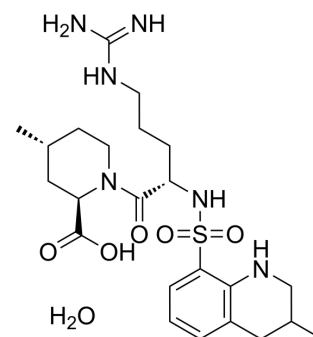


Argatroban monohydrate

Cat. No.:	HY-B0375A
CAS No.:	141396-28-3
Molecular Formula:	C ₂₃ H ₃₈ N ₆ O ₆ S
Molecular Weight:	526.65
Target:	Thrombin
Pathway:	Metabolic Enzyme/Protease
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (189.88 mM)
 H₂O : < 0.1 mg/mL (insoluble)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	1.8988 mL	9.4940 mL	18.9879 mL
	5 mM	0.3798 mL	1.8988 mL	3.7976 mL
	10 mM	0.1899 mL	0.9494 mL	1.8988 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (4.75 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (4.75 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (4.75 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Argatroban (monohydrate) (MD-805 (monohydrate)) is a direct, selective thrombin inhibitor.

IC₅₀ & Target

Thrombin^[1].

In Vitro

Argatroban (MD-805) may have a complementary effect for preventing thrombus formation without aggravating bleeding tendency because of its monotarget specificity to thrombin. Administration (0.5 to 2 micrograms/kg/min) of Argatroban (MD-805) is a safe anticoagulant for left heart bypass in repairs of traumatic aortic rupture associated with multiple organ

injuries^[1]. Argatroban (MD-805), as compared with heparin, appears to enhance reperfusion with TPA in patients with AMI, particularly in those patients with delayed presentation. The incidences of major bleeding and adverse clinical outcome were lower in the patients receiving argatroban^[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Argatroban monohydrate can be used in animal modeling to construct endogenous intestinal plug models. Area under the curve values, distribution, and elimination half-life were significantly increased in hepatectomized rats. Argatroban is converted from the 21-(R) to the 21-(S) diastereomer in the kidney. The 21-(S) diastereomer has greater antithrombotic activity than the R isoform, and the 21-(S) isomer may be preferentially eliminated by the liver^[4].

Induction of Thrombolysis^[3]

- Background

Argatroban is a specific thrombin inhibitor that inhibits thrombin activity in animals to establish thrombolysis models.

- Specific Modeling Methods

Rat: Wistar ST • male • 8-week-old 8

Administration: 2 mg/kg/h • iv • 1 h

Note

Rats were allowed drinking water ad libitum and were fasted overnight prior to the thrombolysis experiments.

- Modeling Indicators

Relative rate of thrombus dissolution ↓

- Correlated Product(s): Tranexamic acid (HY-B0149)

- Opposite Product(s):

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Antiviral Res. 2023 Apr 17;105606.
- Int J Mol Sci. 2021, 22(7), 3323.
- Rheinische Friedrich-Wilhelms-Universität Bonn. 2023 May 31.

REFERENCES

- [1]. Hashimoto M, et al. Suppression of argatroban-induced endogenous thrombolysis by PKSI-527, and antibodies to TPA and UPA, evaluated in a rat arterial thrombolysis model. *Thromb Haemost.* 2003 May;89(5):820-5.
- [2]. Lopez M, et al. Influence of renal and hepatic failure on the pharmacokinetics of argatroban: an experimental study in rats. *Seminars in thrombosis and hemostasis.* 2008, 34(S 01): 103-107.
- [3]. Kawada, T., et al., Argatroban, an attractive anticoagulant, for left heart bypass with centrifugal pump for repair of traumatic aortic rupture. *Jpn J Thorac Cardiovasc Surg.* 1999. 47(3): p. 104-9.
- [4]. Jang, I.K., et al., A multicenter, randomized study of argatroban versus heparin as adjunct to tissue plasminogen activator (TPA) in acute myocardial infarction: myocardial infarction with novastan and TPA (MINT) study. *J Am Coll Cardiol.* 1999. 33(7): p. 1879-85.
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Caution: Product has not been fully validated for medical applications. For research use only.

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