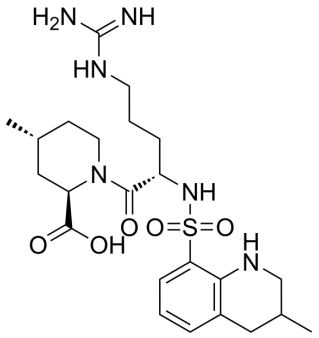
Argatroban

Cat. No.:	HY-B0375
CAS No.:	74863-84-6
Molecular Formula:	$C_{23}H_{36}N_6O_5S$
Molecular Weight:	508.63
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 (196.61 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.9661 mL	9.8303 mL	19.6607 mL
	5 mM	0.3932 mL	1.9661 mL	3.9321 mL
	10 mM	0.1966 mL	0.9830 mL	1.9661 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (4.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.92 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Argatroban (MD-805) is a direct, selective thrombin inhibitor.
IC_{50} & 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 can be used in animal modeling to create a model of endogenous intestinal plugs. Hepatectomized rats showed a markedly increase in area under the curve values, distribution, and elimination half-life. Argatroban is converted from 21-(R) to 21-(S) diastereoisomer in the kidneys. The 21-(S) diastereoisomer has a higher antithrombotic activity than the R isoform and this 21-(S) isomer might be preferentially hepatically eliminated[4].

Induction of Thrombolysis[3]

- Background

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

- Specific Mmodeling 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.

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REFERENCES

[1]. 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.

[2]. 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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