Dabigatran etexilate mesylate

Cat. No.: HY-10274A

CAS No.: 872728-81-9

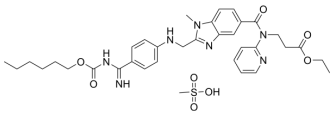
Molecular Formula: $C_{35}H_{45}N_7O_8S$

Molecular Weight: 723.84

Target: Thrombin

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (34.54 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.3815 mL	6.9076 mL	13.8152 mL
	5 mM	0.2763 mL	1.3815 mL	2.7630 mL
	10 mM	0.1382 mL	0.6908 mL	1.3815 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 (3.45 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.45 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.45 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Dabigatran etexilate mesylate (BIBR 1048MS) is an orally active proagent of Dabigatran (a direct inhibitor of thrombin). Dabigatran etexilate mesylate has anticoagulant effects and is used for the prophylaxis of venousthromboembolism and stroke due to atrial fibrillation[1].

In Vivo

Dabigatran etexilate mesylate (BIBR 1048MS; oral; 10, 20 and 50 mg/kg for rats and 1, 2.5 and 5 mg/kg for monkeys) has dose- and time-dependent anticoagulant effects and has maximum effects between 30 and 120 min after administration, respectively[1].
Dabigatran etexilate mesylate maximally and significantly prolongs partial thromboplastin time (aPTT) to 25.2, 38.4 and 78.3 s in 30 min after 10, 20 and 50 mg/kg oral doses, respectively[1].

Dabigatran etexilate mesylate maximally prolongs the aPTT to 34.3 s, 44.0 s, and 63.0 s, respectively, 2h after 1, 2.5 or 5 mg/kg doses in the monkey[1].

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

Animal Model:	Male rats (280-350 g) and rhesus monkeys of either sex (3-8 kg)[1]
Dosage:	10, 20 and 50 mg/kg for rats and 1, 2.5 and 5 mg/kg for monkeys
Administration:	Oral
Result:	Had dose- and time-dependent anticoagulant effects.

CUSTOMER VALIDATION

- Biochem Pharmacol. 2016 Nov 1;119:76-84.
- Int J Mol Sci. 2023, 24(3), 1900.
- J Chromatogr Sci. 2016 Jul 29;54(9):1648-1651.

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REFERENCES

[1]. Blair HA, Keating GM. Dabigatran Etexilate: A Review in Nonvalvular Atrial Fibrillation. Drugs. 2017;77(3):331-344.

[2]. Wienen W, et al. In-vitro profile and ex-vivo anticoagulant activity of the direct thrombin inhibitor dabigatran and its orally activeprodrug, dabigatran etexilate. Thromb Haemost. 2007 Jul;98(1):155-62.

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