Dabigatran etexilate

Cat. No.: HY-10274

CAS No.: 211915-06-9

Molecular Formula: $C_{34}H_{41}N_7O_5$

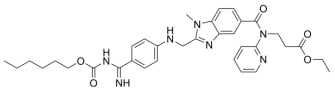
Molecular Weight: 628

Target: Thrombin

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (159.24 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.5924 mL	7.9618 mL	15.9236 mL
5 mM	0.3185 mL	1.5924 mL	3.1847 mL
10 mM	0.1592 mL	0.7962 mL	1.5924 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 (3.98 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (3.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

In Vivo

Dabigatran etexilate (BIBR 1048; 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 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 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.
- 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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