Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Dabigatran etexilate (mesylate)

Catalog No: tcsc1398

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Size: 200mg

Size: 500mg

Size: 1g

Specifications

CAS No:
872728-81-9

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

Pathway:
Metabolic Enzyme/Protease

Target:
Thrombin

Purity / Grade:
>98%

Solubility:
DMSO : 50 mg/mL (69.08 mM; Need ultrasonic)

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Alternative Names:
BIBR 1048MS;Dabigatran etexilate methanesulfonate

Observed Molecular Weight:
723.84

Product Description

Dabigatran etexilate mesylate (BIBR 1048MS) is the orally active prodrug of dabigatran. Dabigatran is a reversible and selective, direct thrombin inhibitor (DTI) with Ki value of 4.5 nM.

IC50 Value: 4.5 nM (Ki); 10 nM(Thrombin-induced platelet aggregation) [1]

in vitro: Dabigatran selectively and reversibly inhibited human thrombin(Ki: 4.5 nM) as well as thrombin-induced platelet aggregation (IC(50): 10 nM), while showing no inhibitory effect on other platelet-stimulating agents.Thrombin generation in platelet-poor plasma (PPP), measured as the endogenous thrombin potential (ETP) was inhibited concentration-dependently (IC(50): 0.56 microM). Dabigatran demonstrated concentration-dependent anticoagulant effects in various species in vitro, doubling the activated partial thromboplastin time (aPTT), prothrombin time (PT) and ecarin clotting time (ECT) in human PPP at concentrations of 0.23, 0.83 and 0.18 microM, respectively [1].

in vivo: Dabigatran prolonged the aPTT dose-dependently after intravenous administration in rats (0.3, 1 and 3 mg/kg) and rhesus monkeys (0.15, 0.3 and 0.6 mg/kg). Dose- and time-dependent anticoagulant effects were observed with dabigatran etexilate administered orally to conscious rats (10, 20 and 50 mg/kg) or rhesus monkeys (1, 2.5 or 5 mg/kg), with maximum effects observed between 30 and 120 min after administration, respectively [1]. Patients treated with dabigatran etexilate experienced fewer ischaemic strokes (3.74 dabigatran etexilate vs 3.97 warfarin) and fewer combined intracranial haemorrhages and haemorrhagic strokes (0.43 dabigatran etexilate vs 0.99 warfarin) per 100 patient-years [2].

Clinical trial: An Evaluation of the Pharmacokinetics and Pharmacodynamics of Oral Dabigatran Etexilate in Hemodialysis Patients . Phase1