

Dabigatran etexilate

Catalog No: tcsc0530



Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

211915-06-9

Formula:

$C_{34}H_{41}N_7O_5$

Pathway:

Metabolic Enzyme/Protease

Target:

Thrombin

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

BIBR 1048

Observed Molecular Weight:

627.73

Product Description

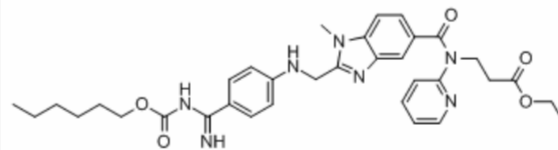
Dabigatran etexilate (BIBR-1048) is the orally active prodrug of dabigatran; Dabigatran is a reversible and selective, direct thrombin inhibitor (DTI) with K_i value of 4.5 nM.

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

in vitro: Dabigatran selectively and reversibly inhibited human thrombin (K_i : 4.5 nM) as well as thrombin-induced platelet aggregation (IC₅₀): 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 (IC₅₀): 0.56 µM). 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 µM, 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 . Phase 1



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!