

Edoxaban

Chemical Properties

CAS No. : 480449-70-5

Formula: C₂₄H₃₀ClN₇O₄S

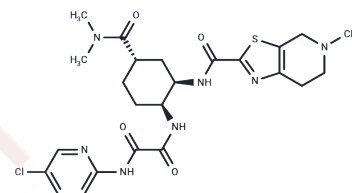
Molecular Weight: 548.06

Storage:

Keep away from direct sunlight, Keep away from moisture

Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Edoxaban (Lixiana) (DU-176) is a selective, potent and orally active factor Xa (FXa) inhibitor with K _i s of 0.561 nM and 2.98 nM for free FXa and prothrombinase, respectively. Edoxaban is an anticoagulant agent and is able to be used for stroke prevention. Edoxaban is also a weak inhibitor of thrombin and factor IXaβ (FIXa), with K _i s of 6.00 μM and 41.7 μM, respectively, exhibits >10 000-fold selectivity for FXa. Edoxaban has antithrombotic properties and is potential for thromboembolic diseases treatment [1] [2] [3].
Targets(IC50)	Factor Xa, Thrombin
In vitro	Edoxaban (DU-176b) also inhibits rat, cynomolgus monkey and rabbit FXa with K _i values of 6.90 nM, 0.715 nM and 0.457 nM, respectively [1]. Prothrombin time (PT), activated partial thromboplastin time (APTT) and thrombin time (TT) of human plasma are prolonged by Edoxaban (DU-176b) in a concentration-dependent way, doubling PT and APTT at 0.256 and 0.508 μM, respectively. The double clotting time (CT ₂) for TT, however, was much higher (4.95 μM), reflecting its anti-thrombin activity. Thrombin-induced platelet aggregation is inhibited by a high concentration of Edoxaban (DU-176b) (IC ₅₀ : 2.90 μM), reflecting its weak anti-thrombin activity [1]. Edoxaban is minimally metabolized (4%) by the cytochrome P450 system (CYP3A4) and is a substrate for P-glycoprotein [2].
In vivo	Edoxaban (DU-176b; 0.5-12.5 mg/kg; oral administration; Wistar rats) inhibits thrombus formation in rat thrombosis models in a dose-dependent manner [1]. Animal Model: Wistar rats (210-240 g) with venous stasis thrombosis model [1] Dosage: 0.5 mg/kg, 2.5 mg/kg, 12.5 mg/kg Administration: Oral administration Result: Dose-dependently inhibited thrombus formation in rat thrombosis models.

Solubility Information

Solubility	DMSO: 10 mg/mL (18.25 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.8246 mL	9.1231 mL	18.2462 mL
5 mM	0.3649 mL	1.8246 mL	3.6492 mL
10 mM	0.1825 mL	0.9123 mL	1.8246 mL
50 mM	0.0365 mL	0.1825 mL	0.3649 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Furugohri T, et al. J Thromb Haemost. 2008, 6(9), 1542-1549.

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