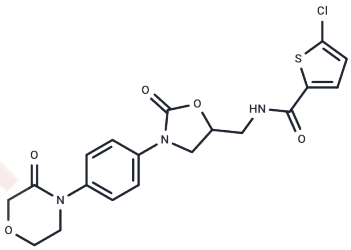
Data Sheet (Cat.No.T10174)

TargetMol

5-R-Rivaroxaban

Chemical Properties



CAS No. : 865479-71-6

Formula: C19H18ClN3O5S

Molecular Weight: 435.88

Storage: 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	5-R-Rivaroxaban is the (R)-enantiomer of Rivaroxaban. Rivaroxaban (BAY 59-7939) is a highly potent and selective direct Factor Xa (FXa) inhibitor with an IC50 of 0.7 nM and a Ki of 0.4 nM.
Targets(IC50)	Factor Xa
In vitro	Rivaroxaban competitively inhibits human FXa (Ki 0.4 nM) with >10 000-fold greater selectivity than for other serine proteases; it also inhibits prothrombinase activity (IC50 2.1 nM). Rivaroxaban inhibits endogenous FXa more potently in human and rabbit plasma (IC50 21 nM) than rat plasma (IC50 290 nM). It demonstrates anticoagulant effects in human plasma, doubling prothrombin time (PT) and activates partial thromboplastin time at 0.23 and 0.69 μM, respectively [2].
In vivo	Rivaroxaban, administered by i.v. bolus before thrombus induction, reduces thrombus formation (ED50 0.1 mg/kg), inhibits FXa, and prolongs PT dose-dependently. PT and FXa are affected slightly at the ED50 (1.8-fold increase and 32% inhibition, respectively). At 0.3 mg/kg (dose leading to almost complete inhibition of thrombus formation), Rivaroxaban moderately prolongs PT (3.2±0.5-fold) and inhibits FXa activity (65±3%) [2].

Solubility Information

Solubility	DMSO: 33.33 mg/mL (76.47 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (4.59 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2942 mL	11.471 mL	22.9421 mL
5 mM	0.4588 mL	2.2942 mL	4.5884 mL
10 mM	0.2294 mL	1.1471 mL	2.2942 mL
50 mM	0.0459 mL	0.2294 mL	0.4588 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

Roehrig S, et al. Discovery of the novel antithrombotic agent 5-chloro-N-({(5S)-2-oxo-3- [4-(3-oxomorpholin-4-yl) phenyl]-1,3-oxazolidin-5-yl}methyl)thiophene- 2-carboxamide (BAY 59-7939): an oral, direct factor Xa inhibitor. J Med Chem. 2005 Sep 22;48(19)

Perzborn E, et al. In vitro and in vivo studies of the novel antithrombotic agent BAY 59-7939--an oral, direct Factor Xa inhibitor. J Thromb Haemost. 2005 Mar;3(3):514-21.

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