

## Product Data Sheet

Product Name: Rivaroxaban

Cat. No.: GC13683

### Chemical Properties

Cas. No. 366789-02-8

Chemical Name 5-chloro-N-[[[(5S)-2-oxo-3-[4-(3-oxomorpholin-4-yl)phenyl]-1,3-oxazolidin-5-yl]methyl]thiophene-2-carboxamide

SMILES C1COCC(=O)N1C2=CC=C(C=C2)N3CC(OC3=O)CNC(=O)C4=CC=C(S4)Cl

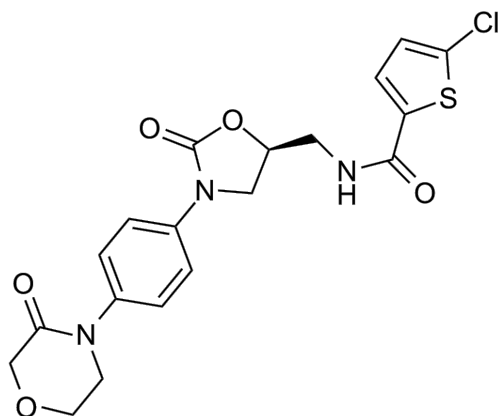
Formula  $C_{19}H_{18}ClN_3O_5S$  M.Wt 435.88

Solubility  $\geq 13.9$  mg/mL in DMSO with gentle warming Storage Store at  $-20^{\circ}\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^{\circ}\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^{\circ}\text{C}$  for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



### Protocol

**Caution: Product has not been fully validated for medical applications. For research use only.**

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com

Address: 10292 Central Ave. #205, Montclair, CA, USA

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**Kinase  
experiment:**

The activity of Rivaroxaban (BAY 59-7939) against purified serine proteases is measured using chromogenic or fluorogenic substrates in 96-well microtiter plates at 25°C. The enzymes are incubated with Rivaroxaban or its solvent, DMSO, for 10 min. The reactions are initiated by the addition of the substrate, and the color or fluorescence is monitored continuously at 405 nm using a Spectra Rainbow Thermo Reader, or at 630/465 nm using a SPECTRAfluor plus, respectively, for 20 min. Enzymatic activity is analyzed in the following buffers (final concentrations): human FXa (0.5 nM), rabbit FXa (2 nM), rat FXa (10 nM), or urokinase (4 nM) in 50 mM Tris-HCl buffer, pH 8.3, 150 mM NaCl, and 0.1% bovine serum albumin (BSA); Pefachrome FXa (50-800 µM) or chromozym U (250 µM) with thrombin (0.69 nM), trypsin (2.2 nM), or plasmin (3.2 nM) in 0.1 µM Tris-HCl, pH 8.0, and 20 mM CaCl<sub>2</sub>; chromozym TH (200 µM), chromozym plasmin (500 µM), or chromozymtrypsin (500 µM) with FXIa (1 nM) or APC (10 nM) in 50mM phosphate buffer, pH 7.4, 150 mM NaCl; and S 2366 (150 or 500 µM) with FVIIa (1 nM) and tissue factor (3 nM) in 50 mM Tris-HCl buffer, pH 8.0, 100 mM NaCl, 5 mM CaCl<sub>2</sub> and 0.3% BSA, H-D-Phe-Pro-Arg-6-amino-1-naphthalene-benzylsulfon-amide H<sub>2</sub>O (100 µM) and measured for 3 h. The FIXab/FX assay, comprising FIXab (8.8 nM) and FX (9.5 nM) in 50 mM Tris-HCl buffer, pH 7.4, 100 mM NaCl, 5 mM CaCl<sub>2</sub> and 0.1% BSA, is started by the addition of I-1100 (50 µM), and measured for 60 min. The inhibitory constant (K<sub>i</sub>) against FXa is calculated according to the Cheng-Prusoff equation ( $K_i = IC_{50} / (1 + [S]/K_m)$ ), where [S] is the substrate concentration, and K<sub>m</sub> is the Michaelis-Menten constant. K<sub>m</sub> is determined from a Lineweaver-Burk plot. The IC<sub>50</sub> is the amount of inhibitor required to diminish the initial velocity of the control by 50%[2].

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**Animal experiment:** Rats[2] Fasted, male Wistar rats (HsdCpb:WU) are used. Rat venous stasis model Thrombus formation is induced in anesthetized rats (n=10 per dose group), with minor modifications. The abdominal vena cava is exposed and two loose sutures (8-10 mm apart) are placed below the left renal venous branch. Rivaroxaban dissolved in polyethylene glycol/H<sub>2</sub>O/glycerol (996 g/100 g/60 g), or vehicle is given by intravenous (i.v.) bolus injection into a tail vein 15 min before thrombus induction. Thromboplastin (0.5 mg/kg) is injected into a femoral vein and, after 15 s, the proximal and distal sutures are tied. Fifteen minutes later, the ligated segment is removed, the thrombus withdrawn and weighed. Blood samples are obtained by cardiac puncture immediately before thrombus removal.

### References:

- [1]. 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)methylthiophene-2-carboxamide (BAY 59-7939): an oral, direct factor Xa inhibitor. J Med Chem. 2005 Sep 22;48(19)
- [2]. 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.

### Background

Rivaroxaban, 5-chloro-N-[(5S)-2-oxo-3-[4-(3-oxomorpholin-4-yl)phenyl]-1,3-oxazolidin-5-yl)methyl]thiophene-2-carboxamide, is a potent small-molecule inhibitor of factor Xa which is a coagulation factor at a critical juncture in the blood coagulation pathway resulting in the generation of thrombin and the formation of clot. Rivaroxaban binds to the Tyr288 in S1 pocket of factor Xa through the interaction of Tyr288 and the chlorine substituent of the chlorothiophene moiety. The inhibition is reversible ( $k_{\text{off}} = 5 \times 10^{-3} \text{ s}^{-1}$ ), rapid ( $k_{\text{on}} = 1.7 \times 10^7 \text{ mol/L}^{-1} \text{ s}^{-1}$ ), and in a concentration-dependent manner ( $K_i = 0.4 \text{ nmol/L}$ ). Rivaroxaban is currently being studied for the treatment of VTE, prevention of cardiovascular events in patients with acute coronary syndrome, prevention of stroke in patients with atrial fibrillation.

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[1]. Elisabeth Perzborn, Susanne Roehrig, Alexander Straub, Dagmar Kubitza, Wolfgang Mueck, and Volker Laux. Rivaroxaban: a new oral factor Xa inhibitor. *Arterioscler Thromb Vasc Biol* 2010; 30(3): 376-381

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