

Betrixaban hydrochloride(330942-05-7(free base))

Chemical Properties

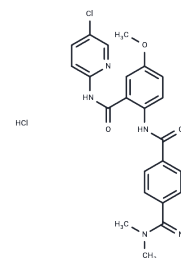
CAS No. :

Formula: C₂₃H₂₃Cl₂N₅O₃

Molecular Weight: 488.36

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	Betrixaban hydrochloride(330942-05-7(free base)) (PRT054021 hydrochloride) is a potent, selective, and orally efficacious factor Xa (fXa) inhibitor (IC ₅₀ : 1.5 nM).
Targets(IC ₅₀)	Factor Xa
In vitro	In patch clamp hERG assays, Betrixaban has IC ₅₀ of 8.9 μM. The plasma kallikrein IC ₅₀ and Ki values for Betrixaban are 6.3 μM and 3.5 μM respectively. Betrixaban (hERG Ki 1.8 μM) exhibits significantly lower hERG activity than all the others (hERG Ki 0.5 μM)[1].
In vivo	Betrixaban (0.5 mg/kg, i.v. and 2.5 mg/kg, p.o.) has bioavailability of 51.6% in dog; dosed at 0.75 mg/kg IV and 7.5 mg/kg PO, Betrixaban has bioavailability of 58.7% in monkey[1]. Both Betrixaban and Apixaban-mediated whole-blood INR increases are similarly reversed by r-Antidote. After i.v. infusion of the three fXa inhibitors (each administered individually) for 30 min, the total plasma concentrations of rivaroxaban, Betrixaban and apixaban are 1.4±0.4 μM (mean±s.d.), 0.2±0.01 μM and 1.4±0.3 μM, respectively, and the percentages of unbound inhibitor are 2.2%±0.8% (mean±s.d.), 40%±7.2% and 1.5%±0.3%, respectively. After administration of r-Antidote, the total plasma concentrations of the inhibitors increased to 1.9±0.09 μM, 2.0±0.4 μM and 4.2±0.7 μM, respectively, and the percentage of unbound inhibitor declined to 0%, 0.3%±0.1% and 0.05%±0.02%, respectively. Thus, for each of the three inhibitors, correction of prothrombin time by r-Antidote to near-normal values is associated with a reduction in the free fraction of the inhibitor[2].

Solubility Information

Solubility	DMSO: 20 mg/mL (40.95 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	2.0477 mL	10.2383 mL	20.4767 mL
5 mM	0.4095 mL	2.0477 mL	4.0953 mL
10 mM	0.2048 mL	1.0238 mL	2.0477 mL
50 mM	0.041 mL	0.2048 mL	0.4095 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

Zhang P, et al. Discovery of Betrixaban (PRT054021), N-(5-chloropyridin-2-yl)-2-(4-(N,N-dimethylcarbamimidoyl)benzamido)-5-methoxybenzamide, a highly potent, selective, and orally efficacious factor Xa inhibitor. *Bioorg Med Chem Lett.* 2009 Apr 15;19(8):2179-85.

Lu G, et al. A specific antidote for reversal of anticoagulation by direct and indirect inhibitors of coagulation factor Xa. *Nat Med.* 2013 Apr;19(4):446-51.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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Tel:781-999-4286 E_mail:info@targetmol.com Address:34 Washington Street,Wellesley Hills,MA 02481