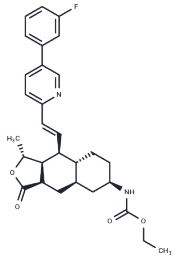
Data Sheet (Cat.No.T7013)

TargetMol

Vorapaxar

Chemical Properties



CAS No. : 618385-01-6

Formula: C29H33FN2O4

Molecular Weight: 492.58

Storage: Store at low temperature
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	Vorapaxar (MK-5348) is a selective, orally active, and competitive antagonist of the plasminogen activator receptor (PAR-1) with a Ki value of 8.1 nM. Vorapaxar primarily acts by targeting PAR-1 on the platelet surface to block thrombin-induced platelet activation. Vorapaxar is suitable for use in cardiovascular disease research.
Targets(IC50)	Protease-activated Receptor
In vitro	**Methods**: Fluorescent calcium indicator Fluo-3/AM was loaded into hPAR1/CHO-K1 cells. After 10-minute preincubation with Vorapaxar, stimuli were added: FXa (0.03 U/mL), thrombin (0.003 U/mL), or PAR1 agonist SFLLRN-NH_2 (3 nM). Fluorescence intensity changes were monitored in real-time using FLIPR. **Results**: The Ca^{2+} elevation induced by direct PAR1 activation with SFLLRN-NH_2 was inhibited by Vorapaxar, confirming its antagonistic effect on PAR1. [1]
In vivo	**Methods**: Eight-week-old ApoEko mice (whose platelets do not express PAR1, used to exclude platelet effects) were divided into two groups, both fed a Western diet. The experimental group received feed supplemented with Vorapaxar (10 mg/kg feed), while the control group did not, for a duration of 4 months. **Results**: The aortic sinus plaque area and overall aortic lipid deposition (Oil Red O staining) were significantly reduced in the Vorapaxar-treated group. [2] **Methods**: To investigate Vorapaxar's effects on renal function in diabetic nephropathy, male C57BL/6 mice aged 8-12 weeks were intraperitoneally injected with streptozotocin (STZ) (50 mg/kg, for 5 consecutive days) to induce type 1 diabetes. Four weeks after diabetes induction, oral administration of Vorapaxar (1.75 mg/kg) began, twice weekly for 20 weeks. **Results**: Diabetic mice in the control group exhibited significantly elevated urinary albumin levels. In contrast, diabetic mice treated with Vorapaxar showed no increase in urinary albumin and demonstrated significantly reduced glomerular damage. [3]

Solubility Information

Solubility	Ethanol: 92 mg/mL (186.77 mM),Sonication is recommended. DMSO: 257.5 mg/mL (522.76 mM),Sonication is recommended. H2O: < 1 mg/mL (insoluble or slightly soluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (10.15 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	2.0301 mL	10.1506 mL	20.3013 mL
5 mM	0.406 mL	2.0301 mL	4.0603 mL
10 mM	0.203 mL	1.0151 mL	2.0301 mL
50 mM	0.0406 mL	0.203 mL	0.406 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

Shinozawa E, Nakayama M, Imura Y. TAK-442, a Direct Factor Xa Inhibitor, Inhibits Monocyte Chemoattractant Protein 1 Production in Endothelial Cells via Involvement of Protease-Activated Receptor 1. Front Pharmacol. 2018 Dec 4;9:1431.

Tang W, Huang B, Wang J, et al. A label-free screening approach targeted protease-activated receptor 1 based on dynamic mass redistribution in living cells. RSC Advances. 2017, 7(68): 43005-43013

Friebel J, et al. Pleiotropic Effects of the Protease-Activated Receptor 1 (PAR1) Inhibitor, Vorapaxar, on Atherosclerosis and Vascular Inflammation. Cells. 2021 Dec 13;10(12):3517.

Waasdorp M, Duitman J, Florquin S, Spek CA. Vorapaxar treatment reduces mesangial expansion in streptozotocin-induced diabetic nephropathy in mice. Oncotarget. 2018 Apr 24;9(31):21655-21662.

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