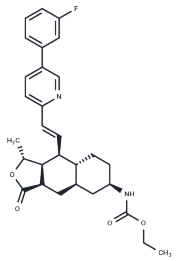
Data Sheet (Cat.No.T7013)

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) (SCH 530348) is an effective and orally active thrombin receptor (PAR-1) antagonist (Ki: 8.1 nM).
Targets(IC50)	Protease-activated Receptor
In vitro	Vorapaxar is a synthetic tricyclic 3-phenylpyridine and an orally active himbacine-based thrombin-receptor antagonist. Vorapaxar shows potent inhibition of thrombin-induced platelet aggregation with an IC50 of 47 nM and haTRAP-induced platelet aggregation with an IC50 of 25 nM, whereas it shows no inhibition of platelet aggregation induced by other agonists such as ADP, collagen and a PAR-4 agonist peptide. Vorapaxar also has no affect on the prothrombin time (PT), partial thromboplastin time (PTT), or activated partial thromboplastin time (aPTT). Moreover, Vorapaxar causes no increase in the bleeding time or in surgical bleeding compared with inactive control. SCH530348 is found to be selective for PAR-1 when tested over a number of ion channels and receptors, including PAR-4 receptor. [1]
In vivo	Vorapaxar is well absorbed in rat (68%; 10 mg/kg) and in monkey (82%; 1 mg/kg) models. Tmax is observed at about 3 h in rats and 1 h in monkeys. The elimination half-life is 5.1 h in rats and 13 h in monkeys. The oral bioavailability is 33% in rats and 86% in monkeys. In preclinical studies in cynomolgus monkey platelets, oral administration of Vorapaxar at a dose greater than 0.1 mg/kg resulted in 100% inhibition of thrombin-receptor agonist peptide (TRAP)-induced platelet aggregation for 24 h with partial recovery occurring at 48 h. [1]

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*

In vivo Formulation	*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

Chackalamannil S, et al. J Med Chem, 2008, 51(11), 3061-3064.
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
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[J]. RSC Advances. 2017, 7(68): 43005-43013.