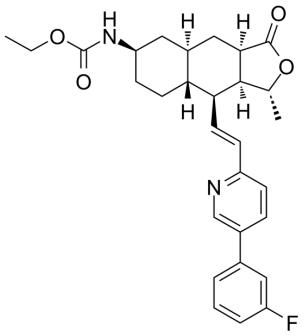
Vorapaxar



Cat. No.:	HY-10119
CAS No.:	618385-01-6
Molecular Formula:	$C_{29}H_{33}FN_2O_4$
Molecular Weight:	492.58
Target:	Protease-Activated Receptor (PAR)
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month

SOLVENT & SOLUBILITY

In Vitro

DMSO : 25 mg/mL (50.75 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.0301 mL	10.1506 mL	20.3013 mL
5 mM	0.4060 mL	2.0301 mL	4.0603 mL
10 mM	0.2030 mL	1.0151 mL	2.0301 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vorapaxar (SCH 530348), an antiplatelet agent, is a selective, orally active, and competitive thrombin receptor protease-activated receptor (PAR-1) antagonist (K_i=8.1 nM). Vorapaxar (SCH 530348) inhibits thrombin receptor-activating peptide (TRAP)-induced platelet aggregation in a dose-dependent manner[1].

IC_{50} & Target

Ki: 8.1 nM (PAR-1)[1]

In Vitro

Vorapaxar (SCH 530348) shows potent inhibition of thrombin-induced platelet aggregation with an IC_{50} of 47 nM and

haTRAP-induced platelet aggregation with an IC_{50} of 25 nM. Vorapaxar (SCH 530348) inhibits thrombininduced calcium transient in human coronary artery smooth muscle cells (HCASMC) with a K_i of 1.1 nM. It also inhibits thrombin-stimulated thymidine incorporation in HCASMC with a Ki of 13 nM[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Death Dis. 2020 Jul 9;11(7):520.
- J Med Chem. 2017 Aug 24;60(16):7166-7185.
- Eur J Oral Sci. 2019 Aug;127(4):287-293.
- Mol Med Rep. 2019 Jun;19(6):5291-5300.
- Research Square Preprint. 2021 Aug.

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REFERENCES

[1]. Khoufache K, et al. PAR1 contributes to influenza A virus pathogenicity in mice. J Clin Invest. 2013 Jan;123(1):206-14.

[2]. Kehinde O, et al. Vorapaxar: A novel agent to be considered in the secondary prevention of myocardial infarction. J Pharm Bioallied Sci. 2016 Apr-Jun;8(2):98-105.

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