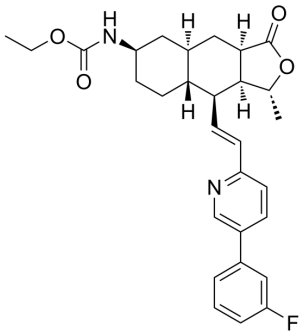
Vorapaxar



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	2 years
	-20°C	1 year

SOLVENT & SOLUBILITY

In Vitro

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

Preparing Stock Solutions	Mass / Solvent / 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

PAR1

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

- J Thromb Haemost. 2023 Apr 15;S1538-7836(23)00322-7.
- Cell Death Dis. 2020 Jul 9;11(7):520.
- Arterioscler Thromb Vasc Biol. 2022 Dec 15.
- J Med Chem. 2017 Aug 24;60(16):7166-7185.
- J Cell Biochem. 2023 Aug 11.

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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.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA