Vorapaxar sulfate

Cat. No.: HY-10119A

CAS No.: 705260-08-8

Molecular Formula: $C_{29}H_{35}FN_2O_8S$

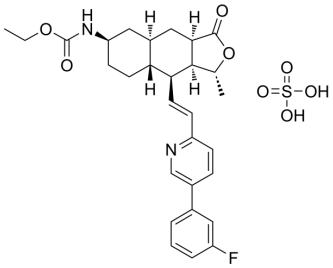
Molecular Weight: 590.66

Target: Protease Activated Receptor (PAR)

Pathway: GPCR/G Protein

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (211.63 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	1.6930 mL	8.4651 mL	16.9302 mL
5 mM	0.3386 mL	1.6930 mL	3.3860 mL
10 mM	0.1693 mL	0.8465 mL	1.6930 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (3.52 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.52 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

PAR1

In Vitro

Vorapaxar sulfate (SCH 530348 sulfate) 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 sulfate (SCH 530348 sulfate) 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.
- Arterioscler Thromb Vasc Biol. 2022 Dec 15.
- Cell Death Dis. 2020 Jul 9;11(7):520.
- J Med Chem. 2017 Aug 24;60(16):7166-7185.
- Biomed Res Int. 2022 Sep 20;2022:8265898.

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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.

Caution: Product has not been fully validated for medical applications. For research use only.

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