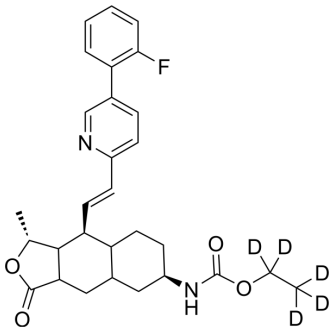
Vorapaxar-d_5

Cat. No.:	HY-10119S
Molecular Formula:	$C_{29}H_{28}D_5FN_2O_4$
Molecular Weight:	497.61
Target:	Protease Activated Receptor (PAR); Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Vorapaxar-d_5 is deuterated labeled Vorapaxar (HY-10119). 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].
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. 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[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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.

[3]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

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