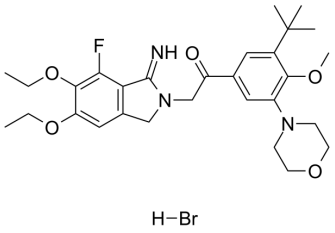
Atopaxar hydrobromide

Cat. No.:	HY-18200B
CAS No.:	474550-69-1
Molecular Formula:	$C_{29}H_{39}BrFN_3O_5$
Molecular Weight:	608.54
Target:	Protease-Activated Receptor (PAR)
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Atopaxar (E5555) hydrobromide is a potent, orally active, selective and reversible thrombin receptor protease-activated receptor-1 (PAR-1) antagonist. Atopaxar hydrobromide, an antiplatelet agent, interferes with platelet signaling. Atopaxar hydrobromide can be used for the research of atherothrombotic disease[1][2].
IC_{50} & Target	PAR-1[1]
In Vitro	Atopaxar hydrobromide (0.0001-10 μM; 1h) inhibits haTRAP (high-affinity thrombin receptor activating peptide) binding to PAR-1 on human platelet membranes in a concentration-dependent manner, with an IC_{50} of 0.019 μM[2]. Atopaxar hydrobromide shows potent inhibitory effects on human platelet aggregation induced by thrombin and TRAP with IC_{50}s of 0.064 and 0.031 μM, respectively, but has no effect on platelet aggregation induced by either ADP or collagen[2]. Atopaxar hydrobromide shows potent and selective inhibitory effects on guinea pig platelet aggregation induced by thrombin and TRAP with IC_{50}s of 0.13 and 0.097 μM, respectively[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Atopaxar (30-100 mg/kg; p.o.) hydrobromide causes a dose-dependent prolongation of the time to occlusion of the femoral artery in photochemically-induced thrombosis (PIT) guinea pigs model[2]. Atopaxar hydrobromide does not prolong bleeding time in guinea pigs at the highest tested dosage of 1000 mg/kg[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Guinea pigs, PIT model[2]
Dosage:	Oral administration
Administration:	10 mg/kg, 30 mg/kg, 100 mg/kg
Result:	Prolonged the time to occlusion by 1.8-fold and 2.4-fold at 30 mg/kg and 100 mg/kg, respectively, compared with controls.

REFERENCES

[1]. Chris Dockendorff, et al. Discovery of 1,3-Diaminobenzenes as Selective Inhibitors of Platelet Activation at the PAR1 Receptor. ACS Med Chem Lett. 2012 Mar 8; 3(3): 232–237.

[2]. Motoji Kogushi, et al. The novel and orally active thrombin receptor antagonist E5555 (Atopaxar) inhibits arterial thrombosis without affecting bleeding time in guinea pigs. Eur J Pharmacol. 2011 Apr 25;657(1-3):131-7.

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