Atopaxar

Cat. No.: HY-18200

CAS No.: 751475-53-3

Molecular Formula: $C_{29}H_{38}FN_3O_5$

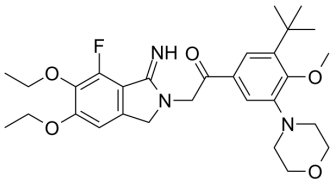
Molecular Weight: 527.63

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 : 50 mg/mL (94.76 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8953 mL	9.4763 mL	18.9527 mL
	5 mM	0.3791 mL	1.8953 mL	3.7905 mL
	10 mM	0.1895 mL	0.9476 mL	1.8953 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: ≥ 2.08 mg/mL (3.94 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (3.94 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2 mg/mL (3.79 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Atopaxar (E5555) is a potent, orally active, selective and reversible thrombin receptor protease-activated receptor-1 (PAR-1) antagonist. Atopaxar, an antiplatelet agent, interferes with platelet signaling. Atopaxar can be used for the research of atherothrombotic disease[1][2].

IC_{50} & Target

PAR-1[1]

In Vitro

Atopaxar (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 inhibits human platelet aggregation induced by thrombin or TRAP in a concentration-dependent manner[2].
Atopaxar does not inhibit PRP (platelet-rich plasma) aggregation induced by ADP, U46619, collagen, and PAR-4ap, up to a concentration of 20 μM[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.) causes a dose-dependent prolongation of the time to occlusion of the femoral artery in photochemically-induced thrombosis (PIT) guinea pigs model[2].
Atopaxar 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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