# Atopaxar

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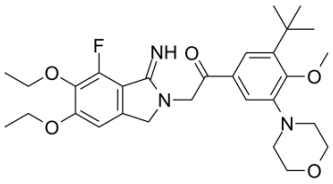
| **Cat. No.:** | HY-18200 |
| **CAS No.:** | 751475-53-3 |
| **Molecular Formula:** | $C_{29}H_{38}FN_3O_5$ |
| **Molecular Weight:** | 527.63 |
| **Target:** | Protease-Activated Receptor (PAR) |
| **Pathway:** | GPCR/G Protein |
| **Storage:** | Please store the product under the recommended conditions in the Certificate of Analysis. |



## BIOLOGICAL ACTIVITY

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| **Description** | Atopaxar (E5555) is a potent, orally active, selective and reversible thrombin receptor protease-activated receptor-1 (PAR-1) antagonist. Atopaxar 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 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].<br>Atopaxar inhibits human platelet aggregation induced by thrombin or TRAP in a concentration-dependent manner[2].<br>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].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Atopaxar (30-100 mg/kg; p.o.) prolongs the time to occlusion by 1.8-fold and 2.4-fold, respectively, compared with controls [2].<br>Atopaxar does not prolong bleeding time in guinea pigs at the highest tested dosage of 1000 mg/kg[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

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| Animal Model: | Guinea pigs, photo-chemically-induced thrombosis (PIT) model[2] |
| Dosage: | Oral administration |
| Administration: | 10 mg/kg, 30 mg/kg, 100 mg/kg |
| Result: | At 30 and 100 mg/kg prolonged the time to occlusion by 1.8-fold and 2.4-fold, 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.



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