

Product Data Sheet

Product Name: Atopaxar
Cat. No.: GC63658

Chemical Properties

Cas. No. 751475-53-3

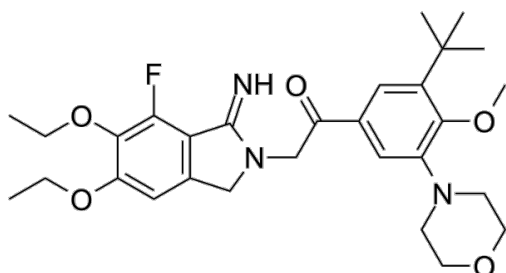
Formula $C_{29}H_{38}FN_3O_5$ M.Wt 527.63

Solubility DMSO : 250 mg/mL (473.82 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure



Background

Atopaxar (E5555) is a potent, orally active, selective and reversible thrombin receptor protease-

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

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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].

Atopaxar (0.0001-10 μ M; 1h) inhibits haTRAP (high-affinity thrombin receptor activating peptide) binding to PAR-1 on human platelet membranes in a concentration-dependent manner, with an IC₅₀ of 0.019 μ M[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 μ M[2].

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].

[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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