

Product Data Sheet

Product Name: SCH79797

Cat. No.: GC63540

Chemical Properties

Cas. No. 245520-69-8

Formula $C_{23}H_{25}N_5$ M.Wt 371.48

Solubility DMSO : 50 mg/mL (134.60 mM; Storage Store at -20°C
Need ultrasonic)

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.

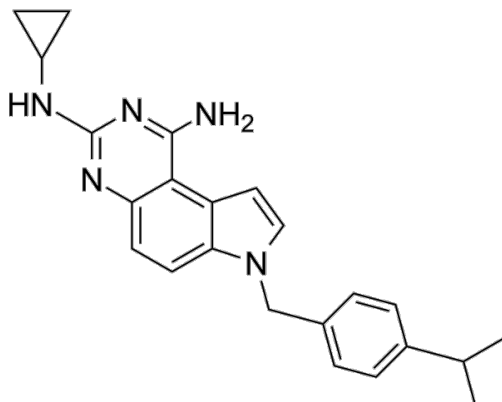
Caution: Product has not been fully validated for medical applications. For research use only.

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Structure



Background

SCH79797 is a highly potent, selective nonpeptide protease activated receptor 1 (PAR1) antagonist. SCH79797 inhibits binding of a high-affinity thrombin receptor-activating peptide to PAR1 with an IC₅₀ of 70 nM and a K_i of 35 nM. SCH79797 inhibits thrombin-induced platelet aggregation with an IC₅₀ of 3 μM. SCH79797 has antiproliferative and pro-apoptotic effects, and limits myocardial ischemia/reperfusion injury in rat hearts. SCH79797 also potently prevents PAR1 activation in vascular smooth muscle cells, endothelial cells, and astrocytes[1][2][3][4].

SCH79797 inhibits high-affinity thrombin receptor-activating peptide ([³H]haTRAP) binding in a competitive manner. SCH79797 inhibits α-thrombin- and haTRAP-induced aggregation of human platelets, but does not inhibit human platelet aggregation induced by the tethered ligand agonist for protease-activated receptor-4 (PAR-4), γ-thrombin, ADP, or collagen. Thrombin produces transient

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increases in cytosolic free Ca^{2+} concentration ($[\text{Ca}^{2+}]_i$) in hCASM. SCH79797 effectively inhibits this increase in $[\text{Ca}^{2+}]_i$. SCH79797 completely inhibits Thrombin- and TK-stimulated $[\text{H}^3]$ thymidine incorporation[1]. SCH79797 is able to interfere with the growth of several human and mouse cell lines, in a concentration-dependent manner. The ED_{50} for growth inhibition is 75 nM, 81 nM and 116 nM for NIH 3T3, HEK 293 and A375 cells, respectively. In NIH 3T3 cells, SCH79797 inhibits serum-stimulated activation of p44/p42 mitogen-activated protein kinases (MAPK) at low concentrations and induces apoptosis at higher concentrations[2].

SCH79797 (2.5-250 $\mu\text{g}/\text{kg}$; intravenous injection; male Sprague Dawley rats) treatment immediately before or during ischemia reduces myocardial necrosis following I/R in the intact rat heart in two rat models of myocardial ischemia/reperfusion (I/R) injury. This response is dose-dependent with the optimal dose being 25 $\mu\text{g}/\text{kg}$ [4].

[1]. Ahn HS, et al. Inhibition of cellular action of thrombin by N3-cyclopropyl-7-[[4-(1-methylethyl)phenyl]methyl]-7H-pyrrolo[3, 2-f]quinazoline-1,3-diamine (SCH 79797), a nonpeptide thrombin receptor antagonist. *Biochem Pharmacol.* 2000 Nov 15;60(10):1425-34.

[2]. Di Serio C, et al. Protease-activated receptor 1-selective antagonist SCH79797 inhibits cell proliferation and induces apoptosis by a protease-activated receptor 1-independent mechanism. *Basic Clin Pharmacol Toxicol.* 2007 Jul;101(1):63-9.

[3]. Sokolova E, et al. A novel therapeutic target in various lung diseases: airway proteases and protease-activated receptors. *Pharmacol Ther.* 2007 Jul;115(1):70-83.

[4]. Strande JL, et al. SCH 79797, a selective PAR1 antagonist, limits myocardial ischemia/reperfusion injury in rat hearts. *Basic Res Cardiol.* 2007 Jul;102(4):350-8.

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