SCH79797 dihydrochloride

Cat. No.: HY-14994

CAS No.: 1216720-69-2

Molecular Formula: $C_{23}H_{27}Cl_2N_5$

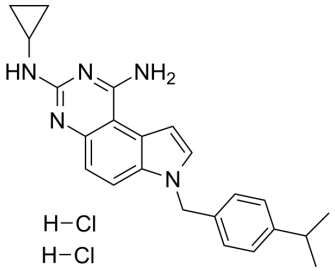
Molecular Weight: 444.4

Target: Protease Activated Receptor (PAR); Apoptosis

Pathway: GPCR/G Protein; Apoptosis

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 22 mg/mL (49.50 mM; Need ultrasonic and warming)

Ethanol : 11 mg/mL (24.75 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	2.2502 mL	11.2511 mL	22.5023 mL
5 mM	0.4500 mL	2.2502 mL	4.5005 mL
10 mM	0.2250 mL	1.1251 mL	2.2502 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

SCH79797 dihydrochloride is a highly potent, selective nonpeptide protease activated receptor 1 (PAR1) antagonist. SCH79797 dihydrochloride inhibits binding of a high-affinity thrombin receptor-activating peptide to PAR1 with an IC_{50} of 70 nM and a K_i of 35 nM. SCH79797 dihydrochloride inhibits thrombin-induced platelet aggregation with an IC_{50} of 3 μM. SCH79797 dihydrochloride has antiproliferative and pro-apoptotic effects, and limits myocardial ischemia/reperfusion injury in rat hearts. SCH79797 dihydrochloride also potently prevents PAR1 activation in vascular smooth muscle cells, endothelial cells, and astrocytes[1][2][3][4].

IC_{50} & Target

Protease activated receptor 1 (PAR1)[1]; Apoptosis[3]

In Vitro

SCH79797 inhibits high-affinity thrombin receptor-activating peptide ([^{3}H]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 increases in cytosolic free Ca^{2+} concentration ($[Ca^{2+}]_i$) in hCASMC. SCH79797 effectively inhibits this increase in $[Ca^{2+}]_i$. SCH79797 completely inhibits Thrombin- and TK-stimulated [^{3}H]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 iss 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].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

SCH79797 (2.5-250 μg/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 μg/kg[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague Dawley rats (8 weeks of age) with myocardial I/R injury[4]
Dosage:	2.5 μg/kg, 10 μg/kg, 25 μg/kg, 50 μg/kg, 100 μg/kg, and 250 μg/kg
Administration:	Intravenous injection
Result:	Immediately before or during ischemia reduced myocardial necrosis following I/R in the intact rat heart.

CUSTOMER VALIDATION

- iScience. 2021 Oct 30;24(11):103386.

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REFERENCES

[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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