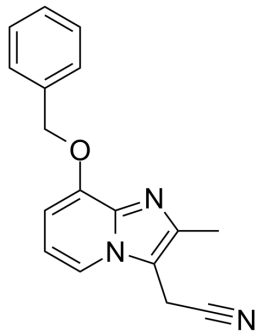
SCH28080

Cat. No.:	HY-103261
CAS No.:	76081-98-6
Molecular Formula:	$C_{17}H_{15}N_3O$
Molecular Weight:	277.32
Target:	Proton Pump
Pathway:	Membrane Transporter/Ion Channel
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (360.59 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6059 mL	18.0297 mL	36.0594 mL
	5 mM	0.7212 mL	3.6059 mL	7.2119 mL
	10 mM	0.3606 mL	1.8030 mL	3.6059 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: ≥ 2.5 mg/mL (9.01 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (9.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SCH28080 is a reversible, K^+-competitive inhibitor of the gastric H,K-ATPase, with a K_i of 0.12 μM. SCH28080 is an effective inhibitor of acid secretion in vivo and with anti-gastric ulcer activity[1][2][3].

IC_{50} & Target

Ki: 0.12 μM ((H^+/K^+)-ATPase)[1]

In Vitro

SCH28080 competitively inhibits the K^+-stimulated hydrolysis of ATP, with a K_i of 0.12 μM [1].
SCH28080 inhibits histamine-induced $^{[14C]}$aminopyrine uptake into isolated rabbit parietal cells with an IC_{50} of 0.029 μM[1].
SCH28080 causes a dose-dependent reduction in cell viability with IC_{50} values of 22.9 μM and 15.3 μM after 2 h and 24 h treatments, respectively, and cell viability was below 10% at 100 μM already after 2 h treatment[2].
SCH28080 induces apoptosis and is cytotoxic at higher doses[2].
SCH28080 inhibits insulin secretion by activation of IK ATP and inhibition of L-type voltage-gated Ca^{2+} channels, reduces cell

viability and dose-dependently induces apoptosis/necrosis[2].

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

Cell Viability Assay[2]

Cell Line:	INS-1E cell
Concentration:	3.1 μM, 6.25 μM, 12.5 μM, 25 μM, 50 μM, 100 μM
Incubation Time:	2 hours, 24 hours
Result:	Caused a dose-dependent reduction in cell viability.

In Vivo

SCH28080 (20 mg/kg; i.p.) inhibits gastric ulcers induced by pylorusligation in rats[3].

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

Animal Model:	Male Wistar rat (280-350 g), the Shay rat model[3]
Dosage:	20 mg/kg
Administration:	Intraperitoneal injection
Result:	Showed 91% inhibition on gastric ulcers induced by pylorusligation in rats.

REFERENCES

[1]. Scott CK, et al. Studies on the mechanism of action of the gastric microsomal (H+ + K+)-ATPase inhibitors SCH 32651 and SCH 28080. Biochem Pharmacol. 1987 Jan 1;36(1):97-104.

[2]. Martin Jakab, et al. The H+/K+ ATPase Inhibitor SCH-28080 Inhibits Insulin Secretion and Induces Cell Death in INS-1E Rat Insulinoma Cells. Cell Physiol Biochem. 2017;43(3):1037-1051.

[3]. Y Hamagishi, et al. Inhibitory Effects of Copiamycin A, a Macrocyclic Lactone Antibiotic, on Gastric H+,K(+)-ATPase, Acid Secretion and Ulcer Formation. Jpn J Pharmacol. 1991 Feb;55(2):283-6.

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