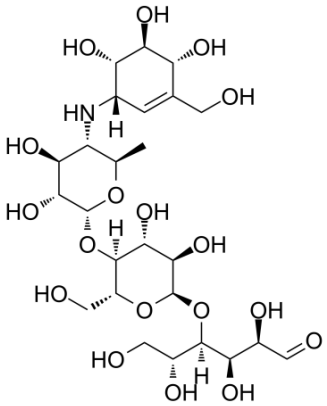
Acarbose

Cat. No.:	HY-B0089
CAS No.:	56180-94-0
Molecular Formula:	$C_{25}H_{43}NO_{18}$
Molecular Weight:	645.6
Target:	Glucosidase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

H_2O : 125 mg/mL (193.62 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5489 mL	7.7447 mL	15.4895 mL
	5 mM	0.3098 mL	1.5489 mL	3.0979 mL
	10 mM	0.1549 mL	0.7745 mL	1.5489 mL

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

BIOLOGICAL ACTIVITY

Description

Acarbose (BAY g 5421), antihyperglycemic agent, is an orally active alpha-glucosidase inhibitor (IC_{50}=11 nM). Acarbose can potentiate the hypoglycemic effects of sulfonylureas or insulin[1][2][3].

In Vitro

Acarbose (1, 2, and 3 μM) dose- and time-dependently inhibits TNF-α-induced VSMC proliferation and migration. Acarbose (1, 2, and 3 μM) dose-dependently decreases β-galactosidase, Ras expression and increased p-AMPK expression in TNF-α pre-treated A7r5 cells[5].

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

In Vivo

Acarbose (300 mg/60 kg body weight) decreases the fasting blood glucose, and regulates the glucose tolerance of DM rats without body weight loss. Acarbose significantly suppresses serum IL6 and TNF-α in DM rats[4].

Acarbose (2.5 and 5.0 mg/kg) significantly and dose-dependently decreases the intensity of neointimal IL-6, TNF-α, and iNOS staining, and significantly increases the intensity of neointimal p-AMPK staining. Acarbose (2.5 and 5.0 mg/kg) significantly and dose-dependently decreases neointimal Ras and β-galactosidase expression in HCD-fed rabbits without body weight loss[5].

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

PROTOCOL

Cell Assay [2]	Cell viability is determined using the MTT assay. Cells are seeded in 24-well culture plates at a density of 2×10^4 cells/well, incubated for 48 h, treated with acarbose at varying concentrations (0.5, 1.0, 2.0, 3.0, and 5.0 μM) for 24 h; or pre-treated with TNF-α (20 ng/mL) for either 24 h or 48 h to evaluate the dose-dependent effects of acarbose on VSMC growth and viability, cultured with 0.5 mg/mL MTT at 37°C in a humidified atmosphere of 5% CO_2 for another 4 h, and solubilized with isopropanol. The viable cell number varies directly with the concentration of formazan product measured spectrophotometrically at 563 nm. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Twenty-four male New Zealand white rabbits, weighing 2500 g are used. They are individually housed in metal cages in an air-conditioned room (22 ± 2°C, 55 ± 5% humidity), under a 12 h light/12 h dark cycle with free access to food and water. All rabbits are randomLy assigned to four groups of 6 animals each and are fed either standard chow (Group I), high cholesterol diet (HCD; containing 95.7% standard Purina chow + 3% lard oil + 0.5% cholesterol) (Group II), HCD diet and 2.5 mg/kg per day acarbose (Group III), or HCD diet and 5.0 mg/kg per day acarbose (Group IV). At the end of the 25 weeks, all rabbits are sacrificed by exsanguination under deep anesthesia with pentobarbital (30 mg/kg i.v.) injected via the marginal ear vein. Serum is stored at −80°C prior to measurement of serum values. The aortic arch and thoracic aortas are carefully removed to protect the endothelial lining, and are collected and freed of adhering soft tissue. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Zhang Q, et al. Acarbose Reduces Blood Glucose by Activating miR-10a-5p and miR-664 in Diabetic Rats. PLoS One. 2013 Nov 18;8(11):e79697.

[2]. Chan KC, et al. Pleiotropic effects of acarbose on atherosclerosis development in rabbits are mediated via upregulating AMPK signals. Sci Rep. 2016 Dec 7;6:3864

[3]. Hanefeld M, et al. Acarbose: oral anti-diabetes drug with additional cardiovascular benefits [published correction appears in Expert Rev Cardiovasc Ther. 2009 Mar;7(3):330]. Expert Rev Cardiovasc Ther. 2008;6(2):153-163.

[4]. Yee HS, et al. A review of the safety and efficacy of acarbose in diabetes mellitus. Pharmacotherapy. 1996;16(5):792-805.

[5]. Oki T, et al. Evaluation of alpha-glucosidase inhibition by using an immobilized assay system. Biol Pharm Bull. 2000;23(9):1084-1087.

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