
Product Data Sheet

Product Name: Anagliptin (SK-0403)

Cat. No.: GC31341

Chemical Properties

Cas. No. 739366-20-2

SMILES O=C(C1=CN2C(N=C1)=CC(C)=N2)NCC(C)(NCC(N3[C@H](C#N)CCC3)=O)CFormula $C_{19}H_{25}N_7O_2$ M.Wt 383.45Solubility DMSO : ≥ 36 mg/mL (93.88 mM) Storage Store at $-20^{\circ}C$

General tips For obtaining a higher solubility , please warm the tube at $37^{\circ}C$ and shake it in the ultrasonic bath for a while. Stock solution can be stored below $-20^{\circ}C$ 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 **Protocol**

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

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Cell experiment:

To evaluate the growth response of cultured smooth muscle cells (SMCs) to s-DPP-4, the bromodeoxyuridine (BrdU) incorporation assay is performed using cell proliferation ELISA kit. Briefly, SMCs are plated at a density of 3000 cells/well in 96-well culture plates with complete media. At 60%-70% confluence, the SMCs are pretreated with or without 1, 10 or 100 μ M Anagliptin for 10 minutes and finally stimulated with soluble recombinant human (rh) DPP-4 (5 to 500 ng/mL) for 20 hours. Then, BrdU solution (10 μ M) is added to the cells and the cells are cultured for another 4 hours. Then, the cells are dried and fixed, and the cellular DNA is denatured with FixDenat solution for 30 minutes at room temperature. A rat anti-BrdU monoclonal antibody conjugated with peroxidase is added to the culture plates and incubated again at room temperature for 90 minutes. Finally, tetramethylbenzidine is added before incubation for 15 minutes at room temperature. Absorbance is measured by a microplate reader at 370 nm[2].

Animal experiment:

Mice[2] Male apolipoprotein E (apoE)-deficient mice and C57BL/6 mice at the age of 7 weeks, are housed in specific pathogen-free barrier facilities. Mice are maintained under 12-hour light/dark cycle, and fed a standard rodent diet (22.6% protein, 53.8% carbohydrate, 5.6% fat, 6.6% mineral and vitamin mixture, and 3.3% fiber; total, 356 kcal/100 g) with water ad libitum. At the age of 9 weeks, apoE-deficient mice are fed Anagliptin-containing diet (0.3%, Anagliptin group, n = 30) or DPP-4 inhibitor-free diet (control group n = 30) for 16 weeks[2].

References:

[1]. Kato N, et al.
Discovery and
pharmacological
characterization of

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N-[2-({2-[(2S)-2-cyanopyrrolidin-1-yl]-2-oxoethyl}amino)-2-methylpropyl]-2-methylpyrazolo[1,5-a]pyrimidine-6-carboxamide hydrochloride (anagliptin hydrochloride salt) as a potent and selective DPP-IV inhibitor. Bioorg Med Chem. 2011 Dec 1;19(23):7221-7. [2]. Ervinna N, et al. Anagliptin, a DPP-4 inhibitor, suppresses proliferation of vascular smooth muscles and monocyte inflammatory reaction and attenuates atherosclerosis in male apo E-deficient mice. Endocrinology. 2013 Mar;154(3):1260-70. [3]. Yano W, et al. Mechanism of lipid-lowering action of the dipeptidyl peptidase-4

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inhibitor, anagliptin,
in low-density
lipoprotein receptor-
deficient mice. J
Diabetes Investig.
2017 Mar;8(2):155-
160.

Background

Anagliptin is an inhibitor of dipeptidyl peptidase 4 (DPP-4; $IC_{50} = 3.8 \text{ nM}$).¹ It is selective for DPP-4 over DPP-8 and DPP-9 (IC_{50} s = 68 and 60 nM, respectively). Dietary administration of anagliptin (0.05 and 0.3% w/w) decreases plasma DPP-4 activity, increases plasma GLP-1 levels, suppresses food intake and body weight gain, ameliorates insulin resistance, and improves glucose tolerance in wild-type and glucokinase knockout mouse models of high-fat diet-induced diabetes.² It decreases hepatic lipid and M1 macrophage accumulation and prevents hepatic fibrosis and steatohepatitis in mice fed a high-cholesterol high-fat diet or a choline-deficient L-amino acid-defined, high-fat (CDAHFD) diet.³ Anagliptin also reduces atherosclerotic lesion size in an ApoE^{-/-} mouse model of atherosclerosis.⁴

1.Kato, N., Oka, M., Murase, T., et al.Discovery and pharmacological characterization of N-[2-({2-[(2S)-2-cyanopyrrolidin-1-yl]-2-oxoethyl}amino)-2-methylpropyl]-2-methylpyrazolo[1,5-a]pyrimidine-6-carboxamide hydrochloride (anagliptin hydrochloride salt) as a potent and selective DPP-IV inhibitorBioorg. Med. Chem.19(23)7221-7227(2011) 2.Nakaya, K., Kubota, N., Takamoto, I., et al.Dipeptidyl peptidase-4 inhibitor anagliptin ameliorates diabetes in mice with haploinsufficiency of glucokinase on a high-fat dietMetabolism62(7)939-951(2013) 3.Sakai, Y., Chen, G., Ni, Y., et al.DPP-4 inhibition with anagliptin reduces lipotoxicity-induced insulin resistance and steatohepatitis in male miceEndocrinology161(10)139-149(2020) 4.Ervinna, N., Mita, T., Yasunari, E., et al.Anagliptin, a DPP-4 inhibitor, suppresses proliferation of vascular smooth muscles and monocyte inflammatory reaction and attenuates atherosclerosis in male apo E-deficient miceEndocrinology154(3)1260-1270(2013)

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