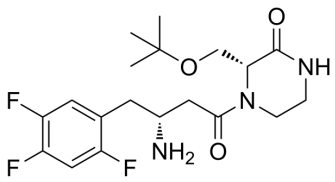
Evogliptin

Cat. No.:	HY-117985
CAS No.:	1222102-29-5
Molecular Formula:	$C_{19}H_{26}F_3N_3O_3$
Molecular Weight:	401.42
Target:	Dipeptidyl Peptidase; Autophagy
Pathway:	Metabolic Enzyme/Protease; Autophagy
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Evogliptin (DA-1229) is an orally active DPP4 inhibitor with significant and sustained hypoglycaemic effects in mouse models. Evogliptin also inhibits the production of inflammatory and fibrotic signals in hepatocytes by inducing autophagy. Evogliptin can be used in studies of type 2 diabetes, osteoporosis, renal impairment and chronic liver inflammation[1][2][3][4].

IC_{50} & Target

DPP4[1][2][3][4].

In Vitro

Evogliptin (2.49 mM; 12 h) efficiently inhibits mDPP4 (membrane DPP4) enzymatic activity in PWM-induced H9 Th1 cells[1].
Evogliptin prevents inflammatory and fibrotic signaling through autophagy induction in primary hepatocytes of $ATG7^{f/f}$-Cre^{+} mice[2].

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

Cell Viability Assay[1]

Cell Line:	H9 Th1 cells (pokeweed mitogen (PWM)-induced)
Concentration:	2.49 mM (1 μg/mL)
Incubation Time:	12 h
Result:	Potently inhibited mDPP4 (membrane DPP4) activity in a dose-dependent manner but did not affect either the cytokine profile or cell viability in PWM-activated $CD4^{+}CD26^{+}$ H9 Th1 cells.

In Vivo

Evogliptin (100, 300 mg/kg; in animal feedings; single daily for 10 weeks) exhibits antidiabetic effects on HFD/STZ mice and improves glucose intolerance and insulin resistance[3].

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Animal Model:	Male ICR mice (four-week-old; HFD/STZ mice model)[3].
Dosage:	100, 300 mg/kg
Administration:	In animal feedings; single daily for 10 weeks
Result:	Decreased the blood glucose level from the second weekand persisted through the 10-

	week treatment, when at 300 mg/kg. Significantly reduced HbA1c level when dosage at 300 mg/kg. Significantly decreased 6 h-fasted blood glucose levels in a dose-dependent manner.

REFERENCES

[1]. Yoon H, et al. Effects of the Antidiabetic Drugs Evogliptin and Sitagliptin on the Immune Function of CD26/DPP4 in Th1 Cells. Biomol Ther (Seoul). 2021 Mar 1;29(2):154-165.

[2]. Seo H Y, et al. Evogliptin Directly Inhibits Inflammatory and Fibrotic Signaling in Isolated Liver Cells. International Journal of Molecular Sciences, 2022, 23(19): 11636.

[3]. Kim TH, et al. Hepatic role in an early glucose-lowering effect by a novel dipeptidyl peptidase 4 inhibitor, evogliptin, in a rodent model of type 2 diabetes. Eur J Pharmacol. 2016 Jan 15;771:65-76.

[4]. Tan X, et al. Evogliptin: a new dipeptidyl peptidase inhibitor for the treatment of type 2 diabetes. Expert Opin Pharmacother. 2016 Jun;17(9):1285-93.

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