Evogliptin tartrate

Cat. No.: HY-117985B

CAS No.: 1222102-51-3

Molecular Formula: $C_{23}H_{32}F_3N_3O_9$

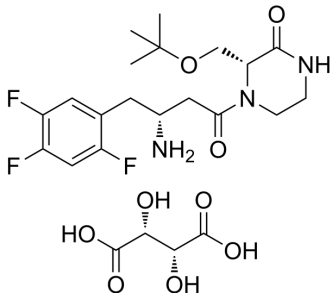
Molecular Weight: 551.51

Target: Dipeptidyl Peptidase; Autophagy

Pathway: Metabolic Enzyme/Protease; Autophagy

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 : 100 mg/mL (181.32 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.8132 mL	9.0660 mL	18.1320 mL
	5 mM	0.3626 mL	1.8132 mL	3.6264 mL
	10 mM	0.1813 mL	0.9066 mL	1.8132 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 (4.53 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.53 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

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

IC_{50} & Target

DPP-4

In Vitro

Evogliptin tartrate (2.49 mM; 12 h) efficiently inhibits mDPP4 (membrane DPP4) enzymatic activity in PWM-induced H9 Th1 cells[1].

Evogliptin tartrate 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 tartrate (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].

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

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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