Gemigliptin tartrate

Cat. No.: HY-14892A

CAS No.: 1374639-74-3

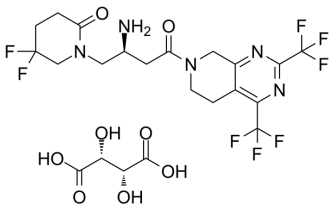
Molecular Formula: $C_{22}H_{25}F_8N_5O_8$

Molecular Weight: 639.45

Target: Dipeptidyl Peptidase

Pathway: Metabolic Enzyme/Protease

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 (156.38 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5638 mL	7.8192 mL	15.6384 mL
	5 mM	0.3128 mL	1.5638 mL	3.1277 mL
	10 mM	0.1564 mL	0.7819 mL	1.5638 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 (3.91 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (3.91 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gemigliptin tartrate (LC15-0444 tartrate) is a highly selective, reversible and competitive dipeptidyl peptidase-4 (DPP-4) inhibitor, with an IC_{50} of 10.3 nM for human recombinant DPP-4. Gemigliptin tartrate exhibits potent anti-glycation properties. Gemigliptin tartrate can be used for the research of advanced glycation end products (AGE)-related diabetic complications[1][2].

IC_{50} & Target

IC50: 10.3 nM (human recombinant DPP-4)[2]

In Vitro

Gemigliptin tartrate dose-dependently inhibits the formation of AGE-BSA with IC_{50} of 11.69 mM[1].
Gemigliptin tartrate dose-dependently suppresses the cross-linking of preformed AGE-BSA with rat tail tendon collagen with an IC_{50} of 1.39 mM[1].
Gemigliptin tartrate is a competitive DPP-4 inhibitor with a K_i of 7.25 nM[2].

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

In Vivo

Gemigliptin tartrate (100 mg/kg; i.g.; daily; for 12 weeks) inhibits AGEs formation and AGE cross-links in vivo[1].
Gemigliptin tartrate dose-dependently inhibits plasma DPP-4 activity in rats, dogs, and monkeys[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male C57BL/KsJ-db/db mice (7 weeks old)[1]
Dosage:	100 mg/kg
Administration:	Oral gavage, daily, for 12 weeks
Result:	Significantly reduced circulating AGE levels by 44.5% in serum.

REFERENCES

[1]. Jung E, et al. Gemigliptin, a novel dipeptidyl peptidase-4 inhibitor, exhibits potent anti-glycation properties in vitro and in vivo. Eur J Pharmacol. 2014 Dec 5;744:98-102.

[2]. Kim SH, et al. Pharmacological profiles of gemigliptin (LC15-0444), a novel dipeptidyl peptidase-4 inhibitor, in vitro and in vivo. Eur J Pharmacol. 2016 Oct 5;788:54-64.

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