Gemigliptin

Cat. No.: HY-14892

CAS No.: 911637-19-9

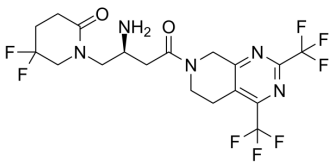
Molecular Formula: $C_{18}H_{19}F_8N_5O_2$

Molecular Weight: 489.36

Target: Dipeptidyl Peptidase

Pathway: Metabolic Enzyme/Protease

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (102.17 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0435 mL	10.2174 mL	20.4349 mL
	5 mM	0.4087 mL	2.0435 mL	4.0870 mL
	10 mM	0.2043 mL	1.0217 mL	2.0435 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 (5.11 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.11 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Gemigliptin (LC15-0444) 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 exhibits potent anti-glycation properties. Gemigliptin 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 dose-dependently inhibits the formation of AGE-BSA with IC_{50} of 11.69 mM[1].
Gemigliptin dose-dependently suppressed the cross-linking of preformed AGE-BSA with rat tail tendon collagen with an IC_{50} of 1.39 mM[1].
Gemigliptin is a competitive 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 (100 mg/kg; i.g.; daily; for 12 weeks) inhibits AGEs formation and AGE cross-links in vivo[1].
Gemigliptin 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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