Omarigliptin

Cat. No.: HY-15981

CAS No.: 1226781-44-7

Molecular Formula: $C_{17}H_{20}F_2N_4O_3S$

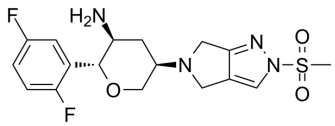
Molecular Weight: 398.43

Target: Dipeptidyl Peptidase

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (125.49 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5099 mL	12.5493 mL	25.0985 mL
	5 mM	0.5020 mL	2.5099 mL	5.0197 mL
	10 mM	0.2510 mL	1.2549 mL	2.5099 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 (6.27 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.27 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.27 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Omarigliptin (MK-3102) is a potent, selective, orally active and cross the blood-brain barrier dipeptidyl peptidase 4 (DPP-4) inhibitor. Omarigliptin shows anti-parkinsonian activity. Omarigliptin has the neuroprotective effect to improve diabetes-associated cognitive dysfunction[1][2][3].

In Vivo

Omarigliptin (5 mg/kg; p.o.) enhances the intestinal glucagon like peptide-1 and crosses BBB in rats[1].
Omarigliptin (2.5, 5 mg/kg; p.o.; once a week for 8 weeks) shows anti-diabetic activity in STZ-induced diabetic mice[2].
Omarigliptin (5 mg/kg; p.o.; daily for 28 days) shows anti-parkinsonian activity in rats[3].

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

Animal Model:	12 weeks, C57BL/6 male mice[2]
Dosage:	2.5, 5 mg/kg
Administration:	P.o.; once a week for 8 weeks (50 mg/kg streptozotocin (STZ); i.p.; daily for five days)
Result:	Reduced the food and water intake, and caused a significant decrease in blood glucose, accompanied by increased serum insulin levels, improved insulin sensitivity and cognitive function, ameliorated diabetes-induced mitochondrial dysfunction in the brain.

Animal Model:	250±35 g , rats[3]
Dosage:	5 mg/kg
Administration:	P.o.; daily for 28 days
Result:	Increased in brain GLP-1 concentration and passed through the BBB following oral administration.

REFERENCES

[1]. Ayoub BM, et al. Repositioning of Omarigliptin as a once-weekly intranasal Anti-parkinsonian Agent. Sci Rep. 2018 Jun 12;8(1):8959.

[2]. Li X, et al. Omarigliptin alleviates cognitive dysfunction in Streptozotocin-induced diabetic mouse. Bioengineered. 2022 Apr;13(4):9387-9396.

[3]. Ayoub BM, et al. Repurposing of Omarigliptin as a Neuroprotective Agent Based on Docking with A2A Adenosine and AChE Receptors, Brain GLP-1 Response and Its Brain/Plasma Concentration Ratio after 28 Days Multiple Doses in Rats Using LC-MS/MS. Molecules. 2

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