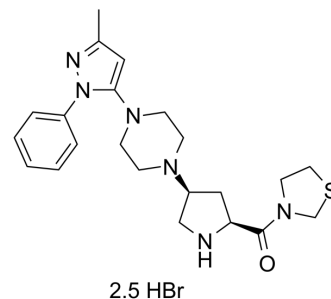


Teneligliptin hydrobromide

Cat. No.:	HY-14806A
CAS No.:	906093-29-6
Molecular Formula:	C ₂₂ H ₃₀ N ₆ OS ₂ /2BrH
Molecular Weight:	628.86
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

H₂O : ≥ 200 mg/mL (318.04 mM)
 DMSO : ≥ 100 mg/mL (159.02 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass	1 mg	5 mg	10 mg
		1 mM	1.5902 mL	7.9509 mL	15.9018 mL
	5 mM	0.3180 mL	1.5902 mL	3.1804 mL	
	10 mM	0.1590 mL	0.7951 mL	1.5902 mL	

Please refer to the solubility information to select the appropriate solvent.

In Vivo

- Add each solvent one by one: PBS
Solubility: 100 mg/mL (159.02 mM); Clear solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
Solubility: ≥ 2.5 mg/mL (3.98 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
Solubility: ≥ 2.5 mg/mL (3.98 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil
Solubility: ≥ 2.5 mg/mL (3.98 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Teneligliptin (MP-513) hydrobromide is a potent chemotype prolylthiazolidine-based DPP-4 inhibitor, which competitively inhibits human plasma, rat plasma, and human recombinant DPP-4 in vitro, with IC₅₀s of approximately 1 nM.

IC₅₀ & Target

IC₅₀: 1 nM (DPP4)^[1]

In Vitro

Teneligliptin (MP-513) inhibits all these DPP-4 enzymes in a concentration-dependent manner. The IC_{50} s of Teneligliptin for rhDPP-4, human plasma, and rat plasma are 0.889, 1.75, and 1.35 nM, respectively. A study of enzyme inhibition kinetics is conducted for Teneligliptin (MP-513) using Gly-Pro-MCA as the substrate and rhDPP-4 as the enzyme source. Plots based on the Michaelis-Menten equation reveals that Teneligliptin (MP-513) inhibits DPP-4 in a substrate-competitive manner; the residual sum of squares for competitive and non-competitive models is 0.162 and 0.192, respectively. K_i , K_m , and V_{max} values are 0.406 nM, 24 μ M, and 6.06 nmol/min, respectively. Teneligliptin (MP-513) inhibits the degradation of GLP-1(7-36)amide with an IC_{50} of 2.92 nM^[1].

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

In Vivo

Oral administration of Teneligliptin (MP-513) in Wistar rats results in the inhibition of plasma DPP-4 with an ED_{50} of 0.41 mg/kg. Plasma DPP-4 inhibition is sustained even at 24 h after administration of Teneligliptin (MP-513). An oral carbohydrate-loading test in Zucker fatty rats shows that Teneligliptin (MP-513) at ≥ 0.1 mg/kg increases the maximum increase in plasma glucagon-like peptide-1 and insulin levels, and reduces glucose excursions. This effect is observed over 12 h after a dose of 1 mg/kg. An oral fat-loading test in Zucker fatty rats also shows that Teneligliptin (MP-513) at 1 mg/kg reduces triglyceride and free fatty acid excursions. In Zucker fatty rats, repeated administration of Teneligliptin (MP-513) for two weeks reduces glucose excursions in the oral carbohydrate-loading test and decreased the plasma levels of triglycerides and free fatty acids under non-fasting conditions. Oral administration of Teneligliptin (MP-513) inhibits plasma DPP-4 in rats in a dose-dependent manner. The ED_{50} value for Teneligliptin (MP-513) is calculated to be 0.41 mg/kg, while those for Sitagliptin and Vildagliptin, 27.3 and 12.8 mg/kg, respectively^[1]. Teneligliptin (MP-513) improves the histopathological appearance of the liver and decreases intrahepatic triglyceride levels in an NAFLD model mouse, which is associated with downregulation of hepatic lipogenesis-related genes due to AMPK activation^[2].

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

PROTOCOL

Animal Administration ^{[1][2]}

Rats^[1]

Nine-week-old Wistar rats are randomly divided into 13 groups of eight animals each based on body weight (306.2-374.2 g) and plasma DPP-4 activity. Teneligliptin (MP-513) is orally administered to four groups (0.01, 0.1, 1 and 10 mg/2 mL/kg). Sitagliptin and vildagliptin is orally administered to each four groups (0.1, 1, 10 and 100 mg/kg). Vehicle (0.5% hydroxypropyl methylcellulose) is orally administered to one group. Blood samples are collected from the tail vein with heparinized capillary tubes at 0 h (pre dose) and 0.5, 1, 2, 3, 6, 9, 12, and 24 h (post dose) and centrifuged at 1800 g for 15 min at 4°C. Separated plasma is used for the measurement of DPP-4 activity. For dose-response curve using the maximum effect in each dose, the dose of the inhibitors which produce half of the maximum effect; ED_{50} are calculated.

Mice^[2]

Monosodium glutamate (MSG) is administered into the neonatal ICR mice at birth as a single-dose subcutaneous injection (4 mg/g body weight). Among these mice, males are divided into two groups at 4 weeks of age: the MSG/HFD group (n=6, Group 1) and the MSG/HFD/Teneligliptin (MP-513)-treated group (n=6, Group 2). The mice in Group 2 are administered Teneligliptin (MP-513) (30 mg/kg per day) in the drinking water from 4 weeks of age. The treatment dose of Teneligliptin (MP-513) is determined according to the data from the animal experiments in the drug development process. Although the dose is relatively higher than that for humans in clinical practice, no notable adverse effect is observed in the treatment with the dose for the experimental animal in the process. Both groups are fed HFD from 4-14 weeks of age. At the termination of the experiment (14 weeks of age), all animals are sacrificed by CO₂ asphyxiation to analyze hepatic histopathology.

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

CUSTOMER VALIDATION

- Antioxidants (Basel). 2023 Jul 24;12(7):1478.
- Antioxidants (Basel). 2021 Sep 9;10(9):1438.

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- Eur J Med Chem. 2021 Feb 15;212:113030.
 - Nephrol Dial Transplant. 2019 Oct 1;34(10):1669-1680.
 - Chem Res Toxicol. 2020 Aug 17;33(8):2164-2171.

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REFERENCES

[1]. Fukuda-Tsuru S, et al. A novel, potent, and long-lasting dipeptidyl peptidase-4 inhibitor, teneligliptin, improves postprandial hyperglycemia and dyslipidemia after single and repeated administrations. Eur J Pharmacol. 2012 Dec 5;696(1-3):194-202.

[2]. Ideta T, et al. The Dipeptidyl Peptidase-4 Inhibitor Teneligliptin Attenuates Hepatic Lipogenesis via AMPK Activation in Non-Alcoholic Fatty Liver Disease Model Mice. Int J Mol Sci. 2015 Dec 8;16(12):29207-18.

Caution: Product has not been fully validated for medical applications. For research use only.

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