

# Teneligliptin (hydrobromide)

Catalog No: tcsc1098



## Available Sizes

**Size:** 10mg

**Size:** 50mg

**Size:** 100mg

**Size:** 250mg



## Specifications

**CAS No:**

906093-29-6

**Formula:**

$C_{22}H_{32.5}N_6OSBr_{2.5}$

**Pathway:**

Metabolic Enzyme/Protease

**Target:**

Dipeptidyl Peptidase

**Purity / Grade:**

>98%

**Solubility:**

H<sub>2</sub>O : ≥ 200 mg/mL (318.04 mM)

**Alternative Names:**

MP-513 (hydrobromide)

**Observed Molecular Weight:**

628.86

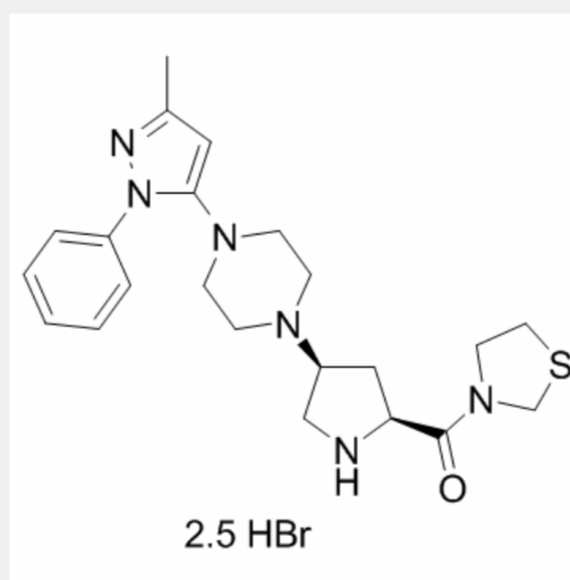
## Product Description

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 **IC<sub>50</sub>s** of approximately 1 nM.

IC50 & Target: IC50: 1 nM (DPP4)<sup>[1]</sup>

**In Vitro:** Teneligliptin (MP-513) inhibits all these DPP-4 enzymes in a concentration-dependent manner. The **IC<sub>50</sub>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-competitivemanner; the residual sum of squares for competitive and non-competitive models is 0.162 and 0.192, respectively. **K<sub>i</sub>**, **K<sub>m</sub>**, and **V<sub>max</sub>** values are 0.406 nM, 24 μM, and 6.06 nmol/min, respectively. Teneligliptin (MP-513) inhibits the degradation of GLP-1(7-36)amide with an **IC<sub>50</sub>** of 2.92 nM<sup>[1]</sup>.

**In Vivo:** Oral administration of Teneligliptin (MP-513) in Wistar rats results in the inhibition of plasma DPP-4 with an **ED<sub>50</sub>** 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 plasmaglutagon-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<sub>50</sub>** 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<sup>[1]</sup>. 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<sup>[2]</sup>.



All products are for RESEARCH USE ONLY. Not for diagnostic & therapeutic purposes!