Web: www.taiclone.com
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Teneligliptin (hydrobromide)

Catalog No: tcsc1098

Available Sizes

Size: 10mg

Size: 50mg

Size: 100mg

Size: 250mg

Specifications

CAS No:
906093-29-6

Formula:
$C_{22}H_{32\cdot5}N_6OSBr_{2\cdot5}$

Pathway:
Metabolic Enzyme/Protease

Target:
Dipeptidyl Peptidase

Purity / Grade:
>98%

Solubility:
H2O : ≥ 200 mg/mL (318.04 mM)

Alternative Names:
MP-513 (hydrobromide)

Observed Molecular Weight:
628.86

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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_{50}**s 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-competitivemanner; 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 μM, 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].

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 plasmaglucagon-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].