

Product Name : Tirzepatide hydrochloride
Cat. No. : PC-28324
CAS No. :
Molecular Formula : $C_{225}H_{348}N_{48}O_{68} \cdot xHCl$
Molecular Weight : 4813.45 (free base)
Target : Glucagon Receptor
Solubility : 10 mM in DMSO

Y-[Aib]-EGTFTSDYSI-[Aib]-LDKIAQ-[diacid-gamma-Glu-(AEEA)2-Lys]-
AFVQWLIAGGPSSGAPPPS-NH₂ hydrochloride salt

Biological Activity

Tirzepatide hydrochloride (LY3298176) is a novel dual glucose-dependent insulinotropic polypeptide (GIP) and glucagon-like peptide-1 (GLP-1) receptor agonist, binds both receptor with high affinity (GIPR $K_i=0.135$; GLP-1R $K_i=4.23$ nM).

Tirzepatide (LY3298176) is comparable to native GIP for the GIPR and approximately 5-fold weaker than native GLP-1 for the GLP-1R.

Tirzepatide (LY3298176) potently stimulates cAMP accumulation by either receptor (GIPR $EC_{50}=0.0224$ nM; GLP-1R $EC_{50}=0.934$ nM) in signaling studies using cell lines with recombinantly expressed GIPR or GLP-1R.

Tirzepatide (LY3298176) is less potent than the selective GLP-1RA semaglutide (Cat. PC-73177) (GLP-1R $K_i=1.97$ nM; cAMP $EC_{50}=0.0571$ nM), and has minimal activity on the closely related glucagon receptor.

Tirzepatide (LY3298176) can induce glucose-dependent insulin secretion in vitro and in vivo through either the GIPR or the GLP-1R.

References

Frias JP, et al. Lancet. 2018 Nov 17;392(10160):2180-2193.

Frias JP, et al. Diabetes Obes Metab. 2020 Jun;22(6):938-946.

Coskun T, et al. Mol Metab. 2018 Dec;18:3-14.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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