Data Sheet (Cat.No.T13091)

TargetMol
A DRUG SCREENING EXPERT

Taspoglutide

Chemical Properties

CAS No.:	275371-94-3
Formula:	C152H232N40O45
Molecular Weight:	3339.71
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	Taspoglutide is a long-acting glucagon-like peptide 1 (GLP-1) receptor agonist(EC50 value of 0.06 nM),and for treatment of type 2 diabetes
Targets(IC_{50})	GLP-1: (EC50) 0.06 nM
In vitro	Taspoglutide (R1583/BIM51077) with 93% homology with the native polypeptide, and is a long acting 10% formulation of (Aib8-35) human GLP-1 (7-36 amides) . It activates the GLP-1 receptor. Taspoglutide has comparable affinity (affinity constant 1.1±0.2 nM) to the natural ligand affinity constant 1.5±0.3 nM for the hGLP-1 receptor and exhibits comparable potency in stimulating cAMP production[2].
In vivo	The rate of glucose-induced insulin secretion from isolated enhanced by Taspoglutide, cultured rat islets and the perfused ZDF rat pancreas. Taspoglutide showed dose-dependent enhancement of glucose-dependent insulin release in Sprague-Dawley rats and diabetic db/db mice, thereby reducing blood glucose in the type 2 diabetic db/db mouse model[3]. Acute treatment with taspoglutide reduces glucose excursion and increased insulin response during oGTT. In chronically treated rats, glucose excursion and levels of GIP, PYY and triglycerides during oGTT on day 21 are significantly reduced[4]. Hepatic triglyceride levels are significantly reduced in livers from taspoglutide-treated. Taspoglutide does not reduce plaque area or lipid content in the aortic arch or abdominal aorta, and no significant change in aortic macrophage accumulation is detected after taspoglutide or metformin mice[5].

Solubility Information

Solubility	DMSO: 28 mg/mL (8.38 mM) (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.299 mL	1.497 mL	2.994 mL
5 mM	0.06 mL	0.299 mL	0.599 mL
10 mM	0.03 mL	0.15 mL	0.299 mL
50 mM	0.006 mL	0.03 mL	0.06 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Sebokova E, et al. Taspoglutide, an analog of human glucagon-like Peptide-1 with enhanced stability and in vivo potency. Endocrinology. 2010 Jun;151(6):2474-82.
2. Retterstol K, et al. Taspoglutide: a long acting human glucagon-like polypeptide-1 analogue. Expert Opin Investig Drugs. 2009 Sep;18(9):1405-11.
3. Nauck MA, et al. Treatment with the human once-weekly glucagon-like peptide-1 analog taspoglutide in combination with metformin improves glycemic control and lowers body weight in patients with type 2 diabetes inadequately controlled with metformin alone: a double-blind placebo-controlled study. Diabetes Care. 2009 Jul;32(7):1237-43.
4. Sebokova E, et al. Taspoglutide, a novel human once-weekly analogue of glucagon-like peptide-1, improves glucose homeostasis and body weight in the Zucker diabetic fatty rat. Diabetes Obes Metab. 2010 Aug;12(8):674-82.
5. Panjwani N, et al. GLP-1 receptor activation indirectly reduces hepatic lipid accumulation but does not attenuate development of atherosclerosis in diabetic male ApoE(-/-) mice. Endocrinology. 2013 Jan;154(1):127-39.

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