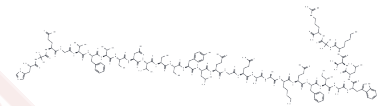


Taspoglutide

Chemical Properties

CAS No. :	275371-94-3
Formula:	C152H232N40O45
Molecular Weight:	3339.763
Storage:	Keep away from moisture Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	Taspoglutide is a long-acting glucagon-like peptide-1 (GLP-1) receptor agonist (EC50 value of 0.06 nM) used for the treatment of type 2 diabetes.
Targets(IC50)	Others,Glucagon Receptor
In vitro	Taspoglutide (R1583/BIM51077), exhibiting 93% homology with native polypeptides, is a long-acting formulation of (Aib8-35) human GLP-1 (7-36 amides) that activates the GLP-1 receptor. It demonstrates an affinity constant (1.1 ± 0.2 nM) towards the hGLP-1 receptor, closely mirroring the natural ligand's affinity constant of 1.5 ± 0.3 nM, and shows similar 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),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween-80+45% Saline: 1 mg/mL (0.3 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	0.2994 mL	1.4971 mL	2.9942 mL
5 mM	0.0599 mL	0.2994 mL	0.5988 mL
10 mM	0.0299 mL	0.1497 mL	0.2994 mL
50 mM	0.006 mL	0.0299 mL	0.0599 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

Retterstol K, et al. Taspoglutide: a long acting human glucagon-like polypeptide-1 analogue. *Expert Opin Investig Drugs*. 2009 Sep;18(9):1405-11.

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.

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.

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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