

Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD

Chemical Properties

CAS No. : 1037589-69-7

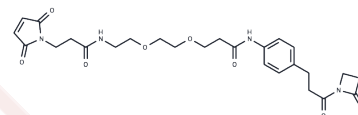
Formula: C₂₆H₃₂N₄O₈

Molecular Weight: 528.55

Keep away from direct sunlight

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD (PF-05231023) is a long-acting fibroblast growth factor 21 (FGF21) analog and FGF21-receptor agonist, suitable for development as a potential treatment for T2DM.
Targets(IC50)	FGFR,PROTAC Linker
In vitro	PF-05231023 is a analog of long-acting fibroblast growth factor 21 [2].
In vivo	Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD suppresses oxidative-stress-induced inflammation in photoreceptors. Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD decreases the variability of retinal NRF2 levels and displays a trend (non-significant) towards increased NRF2 levels in Akita mice. Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD decreases retinal IL-1 β mRNA expression in Akita mice. Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD enhances cone-specific arrestin4 expression in Akita mice. Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD restores photoreceptor morphology in Akita mice. Administration of native FGF21 and Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD (3mg/kg or 10mg/kg; subcutaneously twice a week for two weeks) improves glucose tolerance and liver insulin sensitivity in Zucker rats. Mal-amido-PEG2-C2-amido-Ph-C2-CO-AZD (10 mg/kg; intraperitoneally injected) reduces retinal inflammation in diabetic mice [3][4].

Solubility Information

Solubility	DMSO: 125 mg/mL (236.5 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: 4 mg/mL (7.57 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	1.892 mL	9.4598 mL	18.9197 mL
5 mM	0.3784 mL	1.892 mL	3.7839 mL
10 mM	0.1892 mL	0.946 mL	1.892 mL
50 mM	0.0378 mL	0.1892 mL	0.3784 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

- Dong JQ, et al. Pharmacokinetics and pharmacodynamics of PF-05231023, a novel long-acting FGF21 mimetic, in a first-in-human study. *Br J Clin Pharmacol*. 2015 Nov;80(5):1051-63.
- Giragossian C, et al. Mechanistic investigation of the preclinical pharmacokinetics and interspecies scaling of PF-05231023, a fibroblast growth factor 21-antibody protein conjugate. *Drug Metab Dispos*. 2015 Jun;43(6):803-11.
- Bernardo B, et al. FGF21 does not require interscapular brown adipose tissue and improves liver metabolic profile in animal models of obesity and insulin-resistance. *Sci Rep*. 2015 Jul 8;5:11382.
- Fu Z, et al. Fibroblast Growth Factor 21 Protects Photoreceptor Function in Type 1 Diabetic Mice. *Diabetes*. 2018 May;67(5):974-985.
- Sonoda J, et al. FGF21-receptor agonists: an emerging therapeutic class for obesity-related diseases. *Horm Mol Biol Clin Investig*. 2017 May 19;30(2).

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