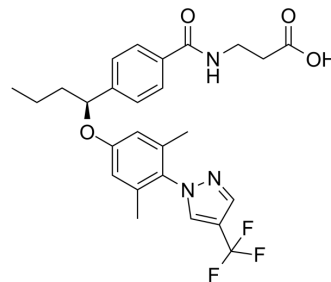


PF-06291874

Cat. No.:	HY-19947		
CAS No.:	1393124-08-7		
Molecular Formula:	C ₂₆ H ₂₈ F ₃ N ₃ O ₄		
Molecular Weight:	503.51		
Target:	GCGR		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro	DMSO : 100 mg/mL (198.61 mM; Need ultrasonic)					
		Solvent	Mass	1 mg	5 mg	10 mg
	Preparing Stock Solutions	Concentration				
		1 mM		1.9861 mL	9.9303 mL	19.8606 mL
5 mM		0.3972 mL	1.9861 mL	3.9721 mL		
	10 mM		0.1986 mL	0.9930 mL	1.9861 mL	
Please refer to the solubility information to select the appropriate solvent.						
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (4.13 mM); Clear solution					
	2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (4.13 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.08 mg/mL (4.13 mM); Clear solution					

BIOLOGICAL ACTIVITY

Description	PF-06291874 is a highly potent, non-peptide and orally active glucagon receptor antagonist. PF-06291874 is under the study for type 2 diabetes mellitus (T2DM) ^{[1][2]} .
In Vivo	PF-06291874 exposure is approximately dose-proportional with a half-life of -19.7-22.7 h. PF-06291874 has a fast on and off rate. PF-06291874 is highly bound to human plasma protein, with a mean free fraction of -0.55% ^[3] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

- [1]. Esther C.Y. Lee et al. Identification of a novel conformationally constrained glucagon receptor antagonist. *Bioorg Med Chem Lett*, 2014 Feb 1, 24(3):839-44.
- [2]. Derek J Nunez, et al. Glucagon receptor as a drug target: A witches' brew of eye of newt (peptides) and toe of frog (receptors). *Diabetes Obes Metab*. 2018 Feb;20(2):233-237.
- [3]. D J Kazierad, et al. Effects of multiple ascending doses of the glucagon receptor antagonist PF-06291874 in patients with type 2 diabetes mellitus. *Diabetes Obes Metab*. 2016 Aug;18(8):795-802.
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Caution: Product has not been fully validated for medical applications. For research use only.

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