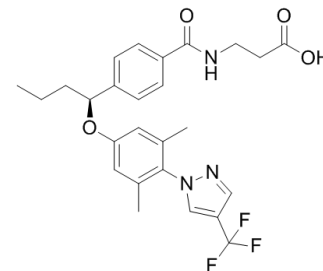


PF-06291874

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:	Glucagon Receptor		
Pathway:	GPCR/G Protein		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 30 mg/mL (59.58 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	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

- 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
- 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
- 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.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA