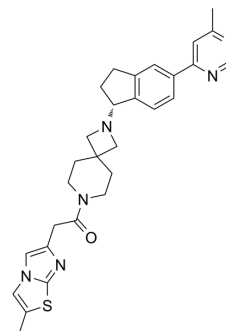


## PF-5190457

<b>Cat. No.:</b>	HY-12584		
<b>CAS No.:</b>	1334782-79-4		
<b>Molecular Formula:</b>	C <sub>29</sub> H <sub>32</sub> N <sub>6</sub> O <sub>5</sub>		
<b>Molecular Weight:</b>	512.67		
<b>Target:</b>	GHSR		
<b>Pathway:</b>	GPCR/G Protein		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : 250 mg/mL (487.64 mM; Need ultrasonic)  
Ethanol : 100 mg/mL (195.06 mM; Need ultrasonic)

	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	1.9506 mL	9.7529 mL	19.5057 mL
	5 mM	0.3901 mL	1.9506 mL	3.9011 mL
	10 mM	0.1951 mL	0.9753 mL	1.9506 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% EtOH >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (4.88 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (4.88 mM); Clear solution
- Add each solvent one by one: 10% EtOH >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (4.88 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.08 mg/mL (4.06 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.08 mg/mL (4.06 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

PF-5190457 (PF-05190457) is a potent and selective ghrelin receptor inverse agonist with a pK<sub>i</sub> of 8.36<sup>[1]</sup>.

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IC <sub>50</sub> & Target	pKi: 8.36 (Human ghrelin receptor) <sup>[1]</sup>
In Vitro	PF-5190457 has a superior balance of ghrelin receptor pharmacology and off-target selectivity <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	PF-5190457 has excellent selectivity and demonstrates robust increases in glucose-stimulated insulin secretion in human whole and dispersed islets <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

### Cell Assay <sup>[1]</sup>

Human whole islets are incubated in assay buffer containing 2.8 mM glucose for 45 minutes at 37 °C to stabilize insulin secretion; islets are then treated with 11.2 mM glucose in the presence and absence of PF-5190457 for one hour at 37 °C. Following incubation, samples are tested for the amount of insulin secreted into the media<sup>[1]</sup>.  
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## REFERENCES

[1]. Bhattacharya SK, et al. Discovery of PF-5190457, a Potent, Selective, and Orally Bioavailable Ghrelin Receptor Inverse Agonist Clinical Candidate. ACS Med Chem Lett. 2014 Feb 24;5(5):474-9.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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