

PF-5190457

Chemical Properties

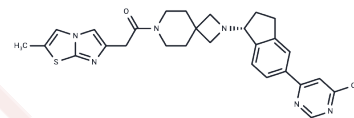
CAS No. : 1334782-79-4

Formula: C₂₉H₃₂N₆O₅

Molecular Weight: 512.67

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	PF-5190457 is an effective and selective ghrelin receptor inverse agonist (pKi: 8.36).
Targets(IC50)	GHSR
In vitro	PF-5190457 demonstrates an optimal balance of ghrelin receptor pharmacology along with off-target selectivity [1].
In vivo	In human whole and dispersed islets, PF-5190457 has excellent selectivity and demonstrates robust increases in glucose-stimulated insulin secretion[1].

Solubility Information

Solubility	DMSO: 7.1 mg/mL (13.85 mM),Sonication is recommended. Ethanol: 100 mg/mL (195.06 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: 5 mg/mL (9.75 mM),Sonication is recommended. 10% DMSO+90% Saline: 0.71 mg/mL (1.38 mM),Suspension. <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	1.9506 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
50 mM	0.039 mL	0.1951 mL	0.3901 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

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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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