

**Product Name:** PF 05190457

**Catalog No.:** 6350

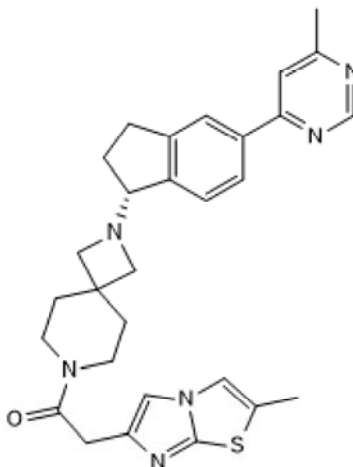
**Batch No.:** 1

CAS Number: 1334782-79-4

IUPAC Name: 1-[2-[(1*R*)-2,3-Dihydro-5-(6-methyl-4-pyrimidinyl)-1*H*-inden-1-yl]-2,7-diazaspiro[3,5]non-7-yl]-2-(2-methylimidazo[2,1-*b*]thiazol-6-yl)ethanone

## 1. PHYSICAL AND CHEMICAL PROPERTIES

<b>Batch Molecular Formula:</b>	C <sub>29</sub> H <sub>32</sub> N <sub>6</sub> OS
<b>Batch Molecular Weight:</b>	512.67
<b>Physical Appearance:</b>	Pale yellow solid
<b>Solubility:</b>	DMSO to 5 mM with gentle warming ethanol to 10 mM with gentle warming
<b>Storage:</b>	Store at -20°C
<b>Batch Molecular Structure:</b>	



## 2. ANALYTICAL DATA

<b>HPLC:</b>	Shows 98.6% purity
<b><sup>1</sup>H NMR:</b>	Consistent with structure
<b>Mass Spectrum:</b>	Consistent with structure
<b>Optical Rotation:</b>	[α] <sub>D</sub> = +53.7 (Concentration = 0.25, Solvent = Methanol)
<b>Microanalysis:</b>	

	Carbon	Hydrogen	Nitrogen
Theoretical	67.94	6.29	16.38
Found	67.69	6.27	16.39

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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**Description:**

High affinity and selective ghrelin receptor inverse agonist ( $K_d = 3$  nM). Exhibits selectivity for ghrelin receptors over a panel of other targets. Increases intracellular  $Ca^{2+}$  concentration in and insulin secretion from human islets in vitro. Increases gastric afferent nerve activity in a rat ex vivo stomach preparation.

**Physical and Chemical Properties:**

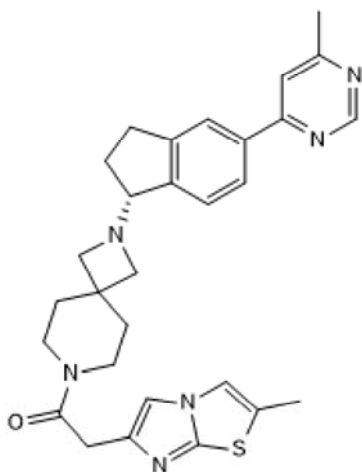
Batch Molecular Formula:  $C_{29}H_{32}N_6OS$

Batch Molecular Weight: 512.67

Physical Appearance: Pale yellow solid

**Minimum Purity:** >98%

**Batch Molecular Structure:**



**Storage:** Store at -20°C

**Solubility & Usage Info:**

DMSO to 5 mM with gentle warming  
ethanol to 10 mM with gentle warming

**Stability and Solubility Advice:**

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

**SOLIDS:** Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

**SOLUTIONS:** We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

**Licensing Information:**

Sold for research purposes under agreement from Pfizer Inc

**References:**

**Kong et al** (2016) Pharmacological characterization of the first in class clinical candidate PF-05190457: a selective ghrelin receptor competitive antagonist with inverse agonism that increases vagal afferent firing and glucose-dependent insulin secretion *ex vivo*. *Br.J.Pharmacol.* **173** 1452. PMID: 26784385.

**Bhattacharya et al** (2014) Discovery of PF-5190457, a potent, selective, and orally bioavailable ghrelin receptor inverse agonist clinical candidate. *ACS Med.Chem.Lett.* **5** 474. PMID: 24900864.

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