

PRODUCT INFORMATION

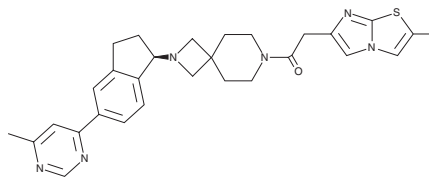


PF-05190457

Item No. 40142

CAS Registry No.: 1334782-79-4
Formal Name: 1-[2-[(1R)-2,3-dihydro-5-(6-methyl-4-pyrimidinyl)-1H-inden-1-yl]-2,7-diazaspiro[3.5]non-7-yl]-2-(2-methylimidazo[2,1-b]thiazol-6-yl)-ethanone

MF: C₂₉H₃₂N₆OS
FW: 512.7
Purity: ≥95%
Supplied as: A solid
Storage: -20°C
Stability: ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

PF-05190457 is supplied as a solid. A stock solution may be made by dissolving the PF-05190457 in the solvent of choice, which should be purged with an inert gas. PF-05190457 is soluble in DMSO and methanol.

Description

PF-05190457 is an inverse agonist of the growth hormone secretagogue receptor (GHS-R), which is also known as the ghrelin receptor.¹ It selectively binds to GHS-R ($K_i = 4.37$ nM) over M₂ muscarinic acetylcholine receptors (mAChRs; $K_i = 1,950$ nM) and the serotonin (5-HT) receptor subtype 5-HT_{2B} ($IC_{50} = 3,700$ nM). PF-05190457 (1 μM) increases glucose-induced insulin secretion in primary human pancreatic islets. It potentiates intracellular calcium levels induced by glucagon-like peptide 1 (GLP-1) in isolated dispersed rat islets when used at a concentration of 100 nM.² Intragastric administration of PF-05190457 increases *ex vivo* vagal nerve firing rate in rats ($EC_{50} = 10.7$ nM).

References

1. Bhattacharya, S.K., Andrews, K., Beveridge, R., *et al.* Discovery of PF-5190457, a potent, selective, and orally bioavailable ghrelin receptor inverse agonist clinical candidate. *ACS Med. Chem. Lett.* **5(5)**, 474-479 (2014).
2. Kong, J., Chuddy, J., Stock, I.A., *et al.* 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(9)**, 1452-1464 (2016).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 02/19/2024

CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM
WWW.CAYMANCHEM.COM