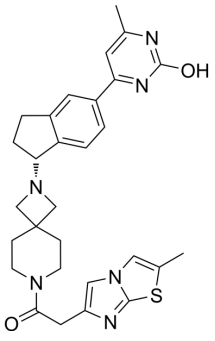
PF-6870961

Cat. No.:	HY-153095
CAS No.:	2857112-06-0
Molecular Formula:	$C_{29}H_{32}N_6O_2S$
Molecular Weight:	528.67
Target:	GHSR
Pathway:	GPCR/G Protein
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

PF-6870961 is an inverse agonist of GHSR1a with K_i values of 73.6 nM (human GHSR), 239 nM (rat GHSR), and 217 nM (dog GHSR), respectively. PF-6870961 inhibits the constitutive GHSR1a-induced IP accumulation with an IC_{50} value of 300 nM. PF-6870961 also inhibits constitutive GHSR1a β-arrestin mobilization with an IC_{50} value of 1.10 nM[1].

In Vivo

PF-6870961 (40 mg/kg□□□□□) □□□□□□□□□□□□□□□[1]□

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Satiated and fasted rats model[1]
Dosage:	2.5 mg/kg, 10 mg/kg, and 40 mg/kg
Administration:	Intraperitoneal injection
Result:	Significantly reduced food intake at 40 mg/kg.

REFERENCES

[1]. Deschaine SL, et al. Initial pharmacological characterization of a major hydroxy metabolite of PF-5190457: inverse agonist activity of PF-6870961 at the ghrelin receptor. J Pharmacol Exp Ther. 2023 Jan 11:JPET-AR-2022-001393.

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