PF-00446687

Cat. No.: HY-10622

CAS No.: 862281-92-3

Molecular Formula: $C_{28}H_{36}F_2N_2O_2$

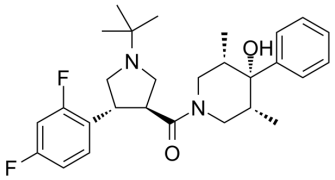
Molecular Weight: 470.59

Target: Melanocortin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (132.81 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1250 mL	10.6250 mL	21.2499 mL
	5 mM	0.4250 mL	2.1250 mL	4.2500 mL
	10 mM	0.2125 mL	1.0625 mL	2.1250 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (4.42 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (4.42 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (4.42 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

PF-00446687 is a potent, selective melanocortin-4 receptor (MC4R) agonist with EC50 of 12 ± 1 nM[1]. Pf-446687 is brain penetrant[2].

IC_{50} & Target

EC50: 12 ± 1 nM (MC4R)[1]

In Vitro

PF-00446687 binds MC4 receptor with a K_i of 27±4 nM. PF-00446687 has a relatively weak activity at the MC1, MC3, and MC5 receptors with EC_{50}s of 1.02±0.30 μM, 1.16±0.35 μM, and 1.98±0.20 μM, respectively. The broad off-target profiles of PF-

	00446687 are assessed in the CEREP Bioprint wide ligand screening panel, with the most potent binding activities being at the σ receptor (K_i=330 nM), the sodium ion channel (K_i=690 nM), and the muscarinic M2 receptor (K_i=730 nM)[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pf-446687 is highly selective for MC4R and is both brain penetrant and stable in vivo. Peripheral administration of the brain penetrant MC3/4R receptor peptide agonist, Melanotan II (MTII), and the highly selective, small molecule MC4R agonist, Pf-446687, enhances partner preference formation in the prairie vole, but not in the non-monogamous meadow vole[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Lansdell MI, et al. Discovery of a selective small-molecule melanocortin-4 receptor agonist with efficacy in a pilot study of sexual dysfunction in humans. J Med Chem. 2010 Apr 22;53(8):3183-97.

[2]. Modi ME, et al. Melanocortin Receptor Agonists Facilitate Oxytocin-Dependent Partner Preference Formation in the Prairie Vole. Neuropsychopharmacology. 2015 Jul;40(8):1856-65.

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