Paltusotine

Cat. No.: HY-109155

CAS No.: 2172870-89-0

Molecular Formula: $C_{27}H_{22}F_2N_4O$

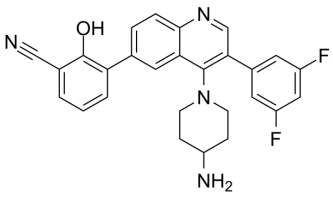
Molecular Weight: 456.49

Target: Somatostatin Receptor

Pathway: GPCR/G Protein; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (21.91 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.1906 mL	10.9531 mL	21.9063 mL
	5 mM	0.4381 mL	2.1906 mL	4.3813 mL
	10 mM	0.2191 mL	1.0953 mL	2.1906 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2 mg/mL (4.38 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Paltusotine (CRN00808) is an orally active, nonpeptide selective somatostatin type 2 (SST2) receptor agonist. Paltusotine has the potential for maintaining GH and IGF-1 levels after depot somatostatin receptor ligand therapy.Paltusotine can be used in research on acromegaly and neuroendocrine tumors[1][2][3].

In Vivo

Paltusotine (3 10, 30 mg/kg, oral, single dose) inhibit growth hormone (GH) secretion induced by exogenous growth hormone releasing hormone (GHRH) stimulation in both male and female rats[3].

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

CUSTOMER VALIDATION

- Nat Commun. 2023 Feb 21;14(1):962.

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REFERENCES

[1]. Zhao J, et al. Discovery of Paltusotine (CRN00808), a Potent, Selective, and Orally Bioavailable Non-peptide SST2 Agonist. ACS Med Chem Lett. 2022 Dec 10;14(1):66-74.

[2]. Murray B. Gordon, et al. Identification of a dose range for once daily oral paltusotine in patients with acromegaly that maintains IGF-1 levels when switching from long-acting somatostatin receptor ligand therapy. Endocrine Abstracts (2021) 73 OC15.4.

[3]. Rosa Luo, et al. Pharmacokinetics and Safety of an Improved Oral Formulation of Paltusotine, a Selective, Non-Peptide Somatostatin Receptor 2 (SST2) Agonist for the Treatment of Acromegaly. Journal of the Endocrine Society, Volume 5, Issue Supplement_1, April-May 2021.

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