Pasireotide acetate

Cat. No.: HY-16381A

CAS No.: 396091-76-2

Molecular Formula: $C_{60}H_{70}N_{10}O_{11}$

Molecular Weight: 1107.26

Sequence Shortening: Cyclo[{4-(NH2-C2H4-NH-CO-O-)Pro}-Phg-{D-Trp}-K-{Tyr(4-Bzl)}-F]

Target: Somatostatin Receptor

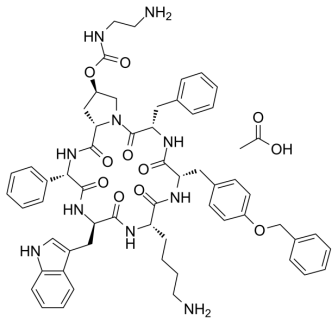
Pathway: GPCR/G Protein; Neuronal Signaling

Storage: Sealed storage, away from moisture and light, under nitrogen

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light, under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (90.31 mM; Need ultrasonic)

H_2O : 1 mg/mL (0.90 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.9031 mL	4.5157 mL	9.0313 mL
	5 mM	0.1806 mL	0.9031 mL	1.8063 mL
	10 mM	0.0903 mL	0.4516 mL	0.9031 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: 2.5 mg/mL (2.26 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (2.26 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (2.26 mM); Clear solution
4. Add each solvent one by one: PBS
   Solubility: 2 mg/mL (1.81 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Pasireotide (SOM230) acetate, a long-acting cyclohexapeptide somatostatin analogue, can improve agonist activity at somatostatin receptors (subtypes sst1/2/3/4/5, pK_i=8.2/9.0/9.1/<7.0/9.9, respectively). Pasireotide acetate can suppress GH,

	IGF-I and ACTH secretion, indicating potential efficacy in acromegaly and Cushing's disease. Pasireotide acetate also exhibits antisecretory, antiproliferative, and proapoptotic activity[1][2][3].
IC_{50} & Target	pKi: 8.2 (sst1), 9.0 (sst2), 9.1 (sst3), <7.0 (sst4), 9.9 (sst5)[1]
In Vitro	Pasireotide acetate exhibits unique high-affinity binding to human somatostatin receptors (subtypes sst1/2/3/4/5, pK_i =8.2/9.0/9.1/<7.0/9.9, respectively)[1]. Pasireotide acetate effectively inhibits the growth hormone releasing hormone (GHRH) induced growth hormone (GH) release in primary cultures of rat pituitary cells, with an IC_{50} of 0.4 nM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Pasireotide acetate (160 mg/kg/mouth; s.c. for 4 months) significantly decreases the serum insulin, increases serum glucose, reduces the tumor size and increases apoptosis in Pdx1-Cre[2]. Pasireotide acetate (2-50 μg/kg; s.c. twice daily for 42 days) exerts the antinociceptive and antiinflammatory actions via the SSTR2 receptor in a mouse model of immune-mediated arthritis[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	12 month-old conditional Men1 knockout mice with insulinoma[2]
Dosage:	160 mg/kg/mouth
Administration:	S.c. every month for 4 months
Result:	Decreased the serum insulin from 1.060 μg/L to 0.3653 μg/L and increased the serum glucose from 4.246 mM to 7.122 mM. Significantly reduced the tumor size and increased apoptosis.

CUSTOMER VALIDATION

- Basic Clin Pharmacol Toxicol. 2022 Jun 10.

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REFERENCES

[1]. Lewis I, et, al. A novel somatostatin mimic with broad somatotropin release inhibitory factor receptor binding and superior therapeutic potential. J Med Chem. 2003 Jun 5;46(12):2334-44.

[2]. Quinn TJ, et, al. Pasireotide (SOM230) is effective for the treatment of pancreatic neuroendocrine tumors (PNETs) in a multiple endocrine neoplasia type 1 (MEN1) conditional knockout mouse model. Surgery. 2012 Dec;152(6):1068-77.

[3]. Imhof AK, et, al. Differential antiinflammatory and antinociceptive effects of the somatostatin analogs octreotide and pasireotide in a mouse model of immune-mediated arthritis. Arthritis Rheum. 2011 Aug;63(8):2352-62.

[4]. Imhof AK, et, al. Differential antiinflammatory and antinociceptive effects of the somatostatin analogs octreotide and pasireotide in a mouse model of immune-mediated arthritis. Arthritis Rheum. 2011 Aug;63(8):2352-62.

[5]. Schmid HA, et, al. Pasireotide (SOM230): development, mechanism of action and potential applications. Mol Cell Endocrinol. 2008 May 14;286(1-2):69-74.

Caution: Product has not been fully validated for medical applications. For research use only.

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