Pasireotide

Cat. No.:	HY-16381
CAS No.:	396091-73-9
Molecular Formula:	$C_{58}H_{66}N_{10}O_9$
Molecular Weight:	1047.21
Sequence:	Cyclo[{4-(NH2-C2H4-NH-CO-O-)Pro}-Phg-{D-Trp}-Lys-{Tyr(4-Bzl)}-Phe]
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:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Pasireotide (SOM230), 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 can suppress GH, IGF-I and ACTH secretion, indicating potential efficacy in acromegaly and Cushing's disease. Pasireotide 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 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 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 (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 (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

- Hepatology. 2017 Oct;66(4):1197-1218.
- Am J Pathol. 2018 Apr;188(4):981-994.

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

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